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Supplementary information

Enantioconvergent Cu-catalysed *N*-alkylation of aliphatic amines

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Supplementary Information for

Enantioconvergent Cu-catalysed *N*-alkylation of aliphatic amines

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1. Supplementary tables for experiments

Brief summary of condition optimizations

Benzylic primary amine A1 with α-methyl secondary alkyl chloride E1: We started the condition optimization using $Cu(CH_3CN)_4PF_6$ and **L*1** as the catalyst in CH_3CN at 45 °C. The initial screening of inorganic base additives indicated Cs_2CO_3 as the best (Supplementary Table 1). Next, the copper salt was varied and CuI performed the best (Supplementary Table 2). The subsequent solvent screening revealed 1,4-dioxane as the optimal one (Supplementary Table 3). Then a series of ligands were strategically tested and **L*4** stood out to provide the highest yield with the best enantioselectivity (Supplementary Table 4). Further investigations on the amine-to-alkyl chloride ratio (Supplementary Table 5), copper-to-ligand ratio (Supplementary Table 6), and the stoichiometry of base additives (Supplementary Table 7) led to the optimal conditions. Additional control experiments indicated the significant formation of side products **1'** or **1''** in the absence of CuI or **L*4** or both (Supplementary Table 8). In addition, the base-promoted non-enantioselective N-alkylation was observed, which was promoted by copper catalysts in the absence of **L*4** (Supplementary Table 8).

Non-benzylic primary amine A13 with α-methyl secondary alkyl chloride E1: This reaction in a single solvent generally gave low to moderate yield with moderate to excellent enantioselectivity (Supplementary Table 9). Thus, mixed solvents composed of NMP and EtOAc, of which the former gave the highest yield and the latter the highest enantioselectivity, were investigated (Supplementary Table 10). An NMP-to-EtOAc ratio of 3:2 was found to deliver good yield with suboptimal enantioselectivity. Further ligand screening identified **L*5** as the best ligand (Supplementary Table 11), providing the N-alkylation product in good yield with excellent enantioselectivity.

Cyclic secondary amine A55 with α-methyl secondary alkyl chloride E1: In this case, **L*5** performed better than **L*4** (Supplementary Table 12) and $CuBH_4(PPh_3)_2$ outperformed CuI (Supplementary Table 13). The use of mixed solvents of DMF and cyclohexane led to slightly enhanced yield with almost the same enantioselectivity as those obtained in DMF only (Supplementary Table 14).

Acyclic secondary amine A51 with α-alkyl secondary alkyl chloride E7: Due to the increased steric bulkiness of alkyl chlorides, sterically less congested N,N,N-ligand **L*7** became superior for this reaction (Supplementary Table 16). Among common solvents, benzene delivered slightly better enantioselectivity than 1,4-dioxane while the yield remained comparable (Supplementary Table 17).

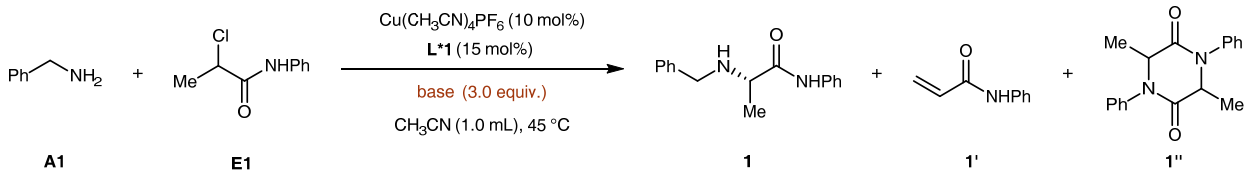
Cyclic secondary amine A89 with α-alkyl secondary alkyl chloride E7: In this reaction, **L*10** afforded slightly higher enantioselectivity than **L*7** (Supplementary Table 18).

Benzylic primary amine A1 with α-aryl secondary alkyl chloride E18: Various copper salts generally provided moderate yield with good enantioselectivity and CuSCN performed the best (Supplementary Table 19). Switching the solvent from 1,4-dioxane to THF marginally enhanced the enantioselectivity albeit with slightly diminished yield (Supplementary Table 20). Replacing the tridentate ligand **L*4** with the sterically less congested bidentate ligand **L*8** boosted the reaction efficiency with almost the same enantioselectivity (Supplementary Table 21).

Benzylic primary amine A1 with tertiary alkyl chloride E22: The planar tridentate N,N,N-ligand **L*9** with a sterically more opened catalyst pocket delivered moderate enantioselectivity while the sterically congested ligand **L*4** failed to induce enantioselectivity under otherwise the same conditions (Supplementary Table 22). Further changing the solvent from 1,4-dioxane to MTBE greatly enhanced the enantioselectivity. The use of K_3PO_4 in place of Cs_2CO_3 provided

slightly superior enantioselectivity but with greatly diminished yield. Interestingly, the addition of an additional catalytic amount of Cs_2CO_3 rescued the reaction while slightly boosting the enantioselectivity.

Supplementary Table 1 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of different bases

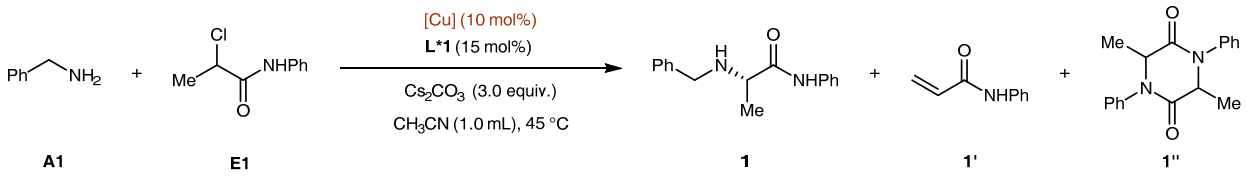


A1 **E1** **1** **1'** **1''**

Entry	Base	Yield (%)			E.e. (%)
		1	**1'**	**1''**	
1	Na_2CO_3	7	trace	trace	2
2	K_2CO_3	10	trace	trace	13
3	Cs_2CO_3	44	44	24	67
4	Na_3PO_4	8	trace	trace	1
5	LiOH	10	trace	trace	19
6	LiOMe	16	trace	trace	47
7	NaOMe	33	7	8	38
8	KOMe	28	22	11	61
9	LiO^tBu	10	trace	trace	22
10	NaO^tBu	13	trace	5	0
11	KO^tBu	9	trace	5	2
12	KOH	17	trace	trace	3
13	NaOH	37	trace	trace	0

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), $Cu(CH_3CN)_4PF_6$ (10 mol%), **L*1** (15 mol%), and base (3.0 equiv.) in CH_3CN (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

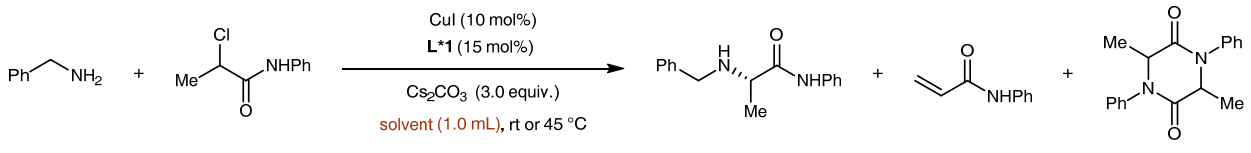
Supplementary Table 2 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of different copper salts



Entry	[Cu]	Yield (%)			E.e. (%)
		1	**1'**	**1''**	
1	$Cu(CH_3CN)_4PF_6$	44	44	24	67
2	$CuBH_4(PPh_3)_2$	63	34	15	84
3	$CuBr{\cdot}SMe_2$	58	37	21	82
4	CuI	69	47	13	85
5	CuCN	53	24	30	75
6	CuTc	52	38	22	80
7	IMesCuCl	23	trace	56	3
8	CuOAc	40	39	22	73
9	CuMes	68	47	10	85
10	$CuBr_2$	56	26	29	78
11	$CuCl_2$	58	32	25	79
12	CuF_2	55	31	25	78
13	$Cu(acac)_2$	37	41	19	71
14	$Cu(OTf)_2$	67	38	22	77
15	$Cu(OAc)_2$	47	37	19	82
16	$Cu_3(PO_4)_2$	34	18	42	49

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), [Cu] (10 mol%), **L*1** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in CH_3CN (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 3 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of different solvents

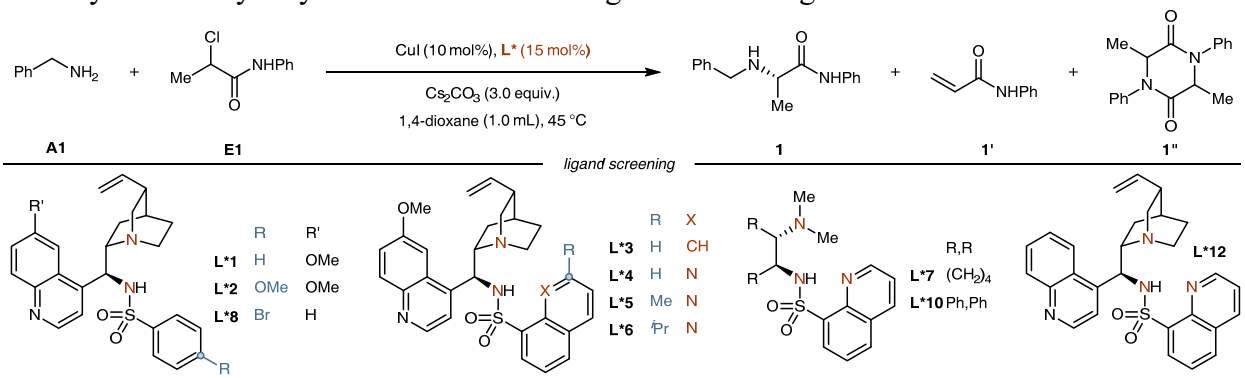


A1 **E1** **1** **1'** **1"**

Entry	Solvent	Yield (%)			E.e. (%)
		1	**1'**	**1"**	
1	CH_3CN	69	47	13	85
2[a]	DMF	9	44	25	80
3[a]	DMA	10	60	16	77
4[a]	DMSO	10	67	6	56
5	DCM	16	trace	trace	72
6	DCE	33	5	trace	84
7	EtOAc	38	13	8	75
8	*n*-hexane	64	8	10	62
9	$PhCF_3$	26	trace	trace	79
10	DME	53	33	9	81
11	$^{i}Pr_2O$	25	7	7	79
12	THF	44	6	6	86
13	1,4-dioxane	68	9	trace	87

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*1** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in anhydrous solvent (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis. [a]At room temperature.

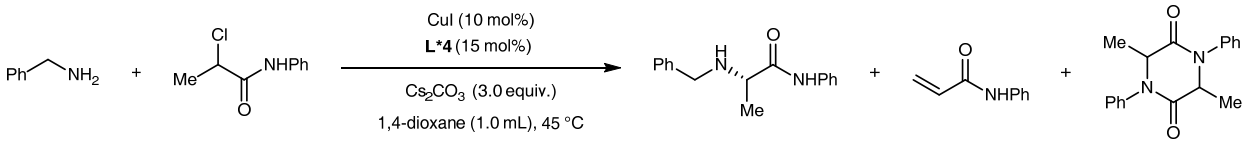
Supplementary Table 4 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of different ligands



Entry	L*	Yield (%)			E.e. (%)
		1	**1'**	**1"**	
1	**L*1**	68	9	trace	87
2	**L*2**	69	15	trace	86
3	**L*3**	62	15	trace	81
4	**L*4**	98	trace	trace	92
5	**L*5**	97	trace	trace	84
6	**L*6**	77	trace	trace	74
7	**L*7**	92	19	7	85
8	**L*8**	74	trace	trace	88
9	**L*10**	92	22	trace	92
10	**L*12**	95	trace	trace	91

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 5 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of starting materials loading

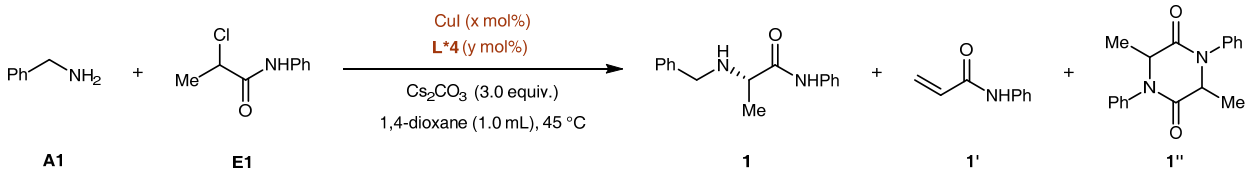


A1 E1 1 1' 1''

Entry	**A1** (equiv.)	**E1** (equiv.)	Yield (%)			E.e. (%)
			1	**1'**	**1''**	
1	1.0	1.5	98	trace	trace	92
2	1.0	1.2	85	trace	trace	92
3	1.0	1.0	70	trace	trace	92
4	1.2	1.0	70	trace	trace	92
5	1.5	1.0	75	trace	trace	91

Reaction conditions: **A1**, **E1**, CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

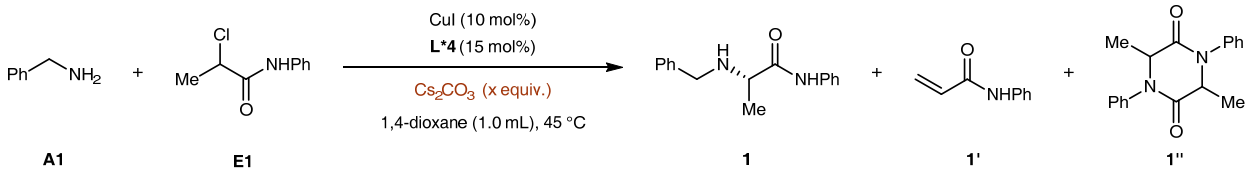
Supplementary Table 6 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of catalyst loading



Entry	CuI (x mol %)	**L*4** (y mol %)	Yield (%)			E.e. (%)
			1	**1'**	**1''**	
1	10	15	98	trace	trace	92
2	10	12	95	trace	trace	92
3	10	10	95	trace	trace	91
4	7	10.5	84	trace	trace	92
5	5	7.5	80	trace	trace	87
6	2	3	77	trace	trace	83

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), CuI, **L*4**, and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

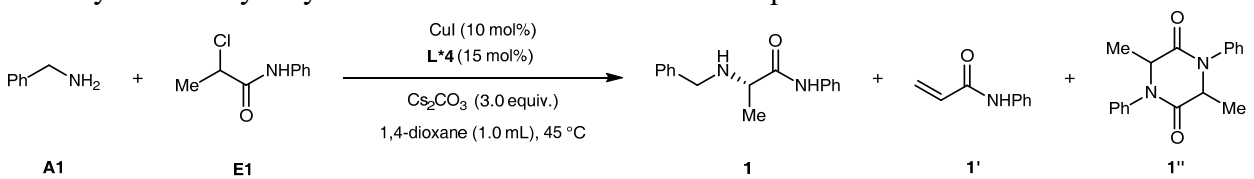
Supplementary Table 7 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: screening of Cs_2CO_3 loading



Entry	Cs_2CO_3 (x equiv.)	Yield (%)			E.e. (%)
		1	**1'**	**1''**	
1	1.0	60	trace	trace	89
2	2.0	80	trace	trace	92
3	3.0	98	trace	trace	92
4	4.0	97	trace	trace	92

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (x equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

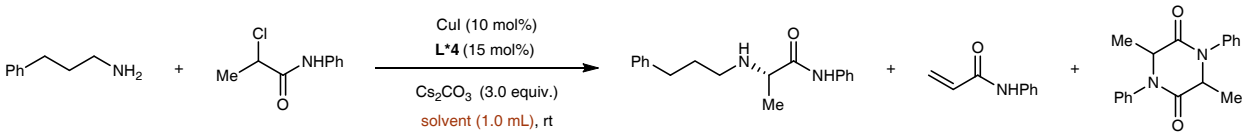
Supplementary Table 8 | Reaction condition optimization with benzylic primary amine **A1** and α-methyl secondary alkyl chloride **E1**: variation of reaction parameters



Entry	CuI	**L*4**	Cs_2CO_3	Yield (%)			E.e. (%)
				1	**1'**	**1''**	
1	√	√	√	98	trace	trace	92
2	√	×	√	98	35	trace	0
3	×	√	√	39	trace	27	0
4	×	×	√	40	trace	20	0
5	×	×	×	trace	trace	trace	–

Reaction conditions: **A1** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 9 | Reaction condition optimization with non-benzylic primary amine **A13** with α-methyl secondary alkyl chloride **E1**: screening of different solvents

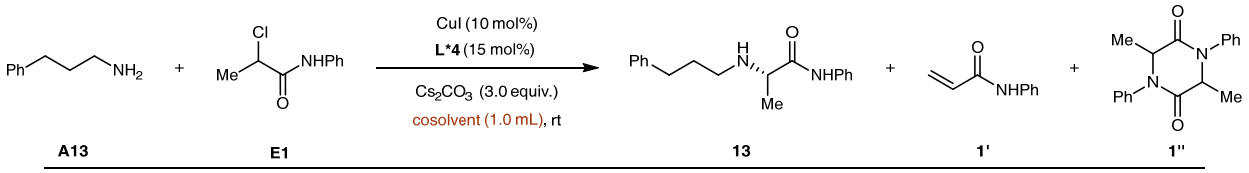


A13 **E1** **13** **1'** **1"**

Entry	Solvent	Yield (%)			E.e. (%)
		13	**1'**	**1"**	
1	DMF	40	45	20	81
2	DMA	38	52	15	82
3	NMP	52	47	9	85
4	DMSO	19	5	14	36
5	MTBE	28	trace	6	76
6	$^{i}Pr_2O$	19	trace	8	52
7	THF	28	trace	8	80
8	1,4-dioxane	45	trace	5	89
9	DME	46	9	13	85
10	PhH	27	trace	10	83
11	PhMe	28	trace	11	85
12	$PhCF_3$	17	trace	14	67
13	DCM	21	trace	14	72
14	DCE	12	trace	5	62
15	CH_3CN	30	trace	36	64
16	EtOAc	19	trace	15	91
17	*n*-hexane	55	trace	16	59
18	cyclohexane	33	trace	10	53
19	$AcO^{i}Pr$	13	trace	8	43
20	$^{i}PrCO_2Et$	9	trace	7	36

Reaction conditions: **A13** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in anhydrous solvent (1.0 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 10 | Reaction condition optimization with non-benzylic primary amine **A13** with α-methyl secondary alkyl chloride **E1**: screening of cosolvents

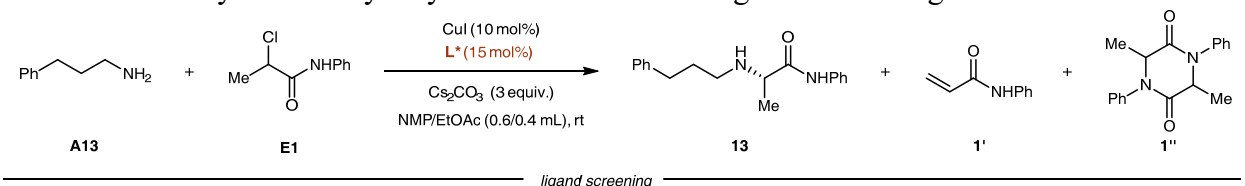


A13 **E1** **13** **1'** **1''**

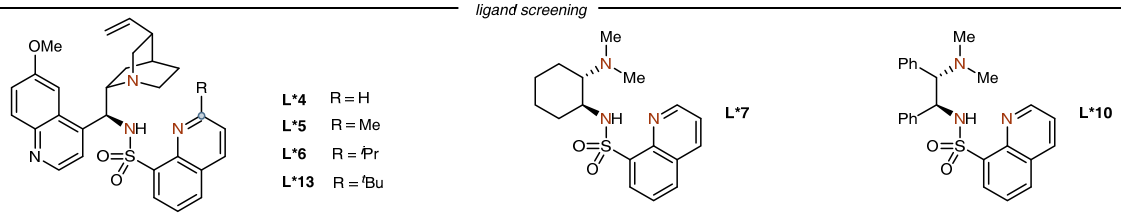
Entry	NMP (mL)	EtOAc (mL)	Yield (%)			E.e. (%)
			13	**1'**	**1''**	
1	1.0	0	52	47	9	85
2	0	1.0	19	trace	15	91
3	0.7	0.35	71	30	9	89
4	0.6	0.4	75	25	11	89
5	0.5	0.5	65	8	5	90
6	0.4	0.6	62	10	7	90

Reaction conditions: **A13** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in cosolvent (1.0 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 11 | Reaction condition optimization with non-benzylic primary amine **A13** with α-methyl secondary alkyl chloride **E1**: screening of different ligands



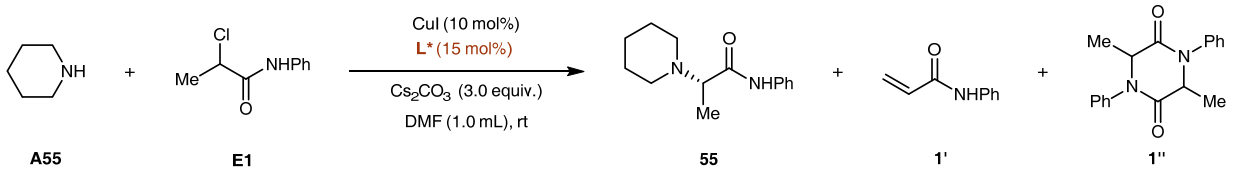
ligand screening



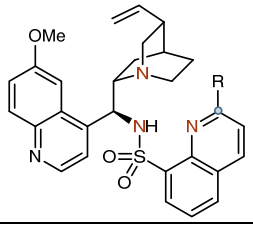
Entry	L*	Yield (%)			E.e. (%)
		13	**1'**	**1''**	
1	**L*4**	75	25	11	89
2	**L*5**	85	18	5	92
3	**L*6**	50	25	11	85
4	**L*13**	18	12	25	30
5	**L*7**	87	31	5	62
6	**L*10**	88	39	6	86

Reaction conditions: **A13** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in NMP/EtOAc (0.6/0.4 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 12 | Reaction condition optimization with cyclic secondary amine **A55** with α-methyl secondary alkyl chloride **E1**: screening of different ligands



ligand screening

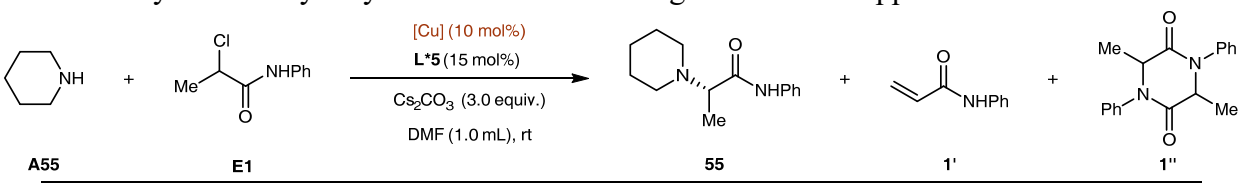


L*4 R = H
L*5 R = Me
L*6 R = ^{i}Pr

Entry	L*	Yield (%)			E.e. (%)
		55	**1'**	**1"**	
1	**L*4**	80	48	trace	75
2	**L*5**	80	22	14	89
3	**L*6**	80	30	15	69

Reaction conditions: **A55** (0.050 mmol), **E1** (1.5 equiv.), CuI (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in DMF (1.0 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 13 | Reaction condition optimization with cyclic secondary amine **A55** with α-methyl secondary alkyl chloride **E1**: screening of different copper salts

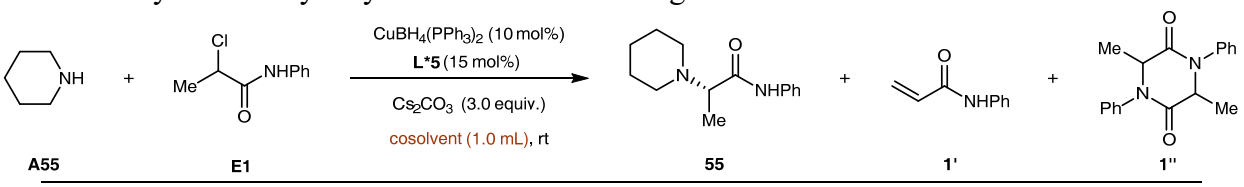


A55 **E1** **55** **1'** **1''**

Entry	[Cu]	Yield (%)			E.e. (%)
		55	**1'**	**1''**	
1	CuI	80	22	14	89
2	CuSCN	80	27	10	90
3	CuTc	70	14	22	78
4	$CuBr{\cdot}SMe_2$	79	23	17	87
5	$Cu(CH_3CN)_4PF_6$	81	36	9	88
6	$CuBH_4(PPh_3)_2$	81	12	16	92
7	$Cu(PPh_3)_3CF_3$	68	13	24	81

Reaction conditions: **A55** (0.050 mmol), **E1** (1.5 equiv.), [Cu] (10 mol%), **L*5** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in DMF (1.0 mL) at rt for 96 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 14 | Reaction condition optimization with cyclic secondary amine **A55** with α-methyl secondary alkyl chloride **E1**: screening of cosolvents

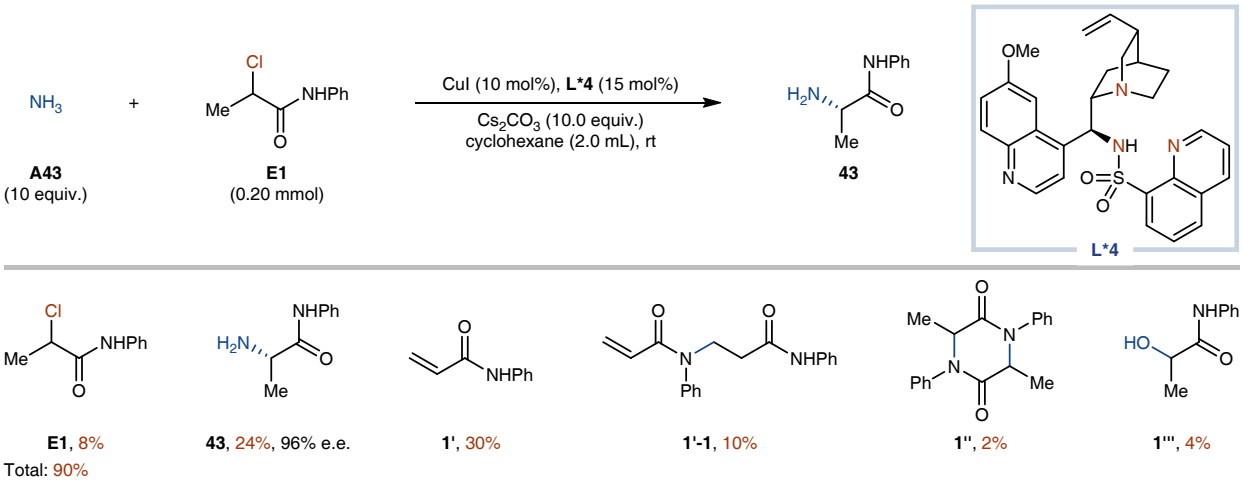


A55 **E1** **55** **1'** **1''**

Entry	DMF (mL)	cyclohexane (mL)	Yield (%)			E.e. (%)
			55	**1'**	**1''**	
1	1.0	0	81	12	16	92
2	0.8	0.2	85	14	15	93
3	0.7	0.35	84	15	15	93
4	0.6	0.4	84	16	16	93
5[a]	0.8	0.2	84	15	15	93

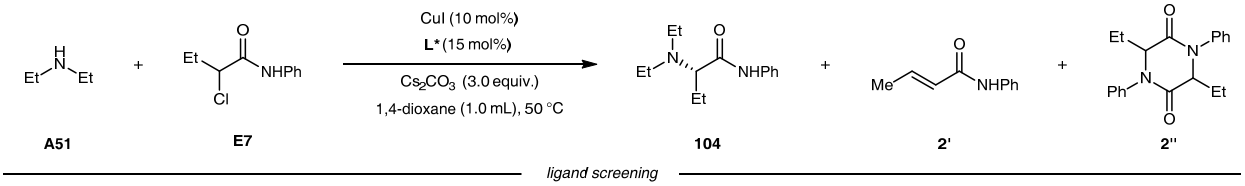
Reaction conditions: **A55** (0.050 mmol), **E1** (1.5 equiv.), [Cu] (10 mol%), **L*5** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in cosolvent (1.0 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis. [a]DMF/THF (0.8/0.2 mL) are used.

Supplementary Table 15 | Product distribution in the N-alkylation of ammonia with secondary alkyl chlorides



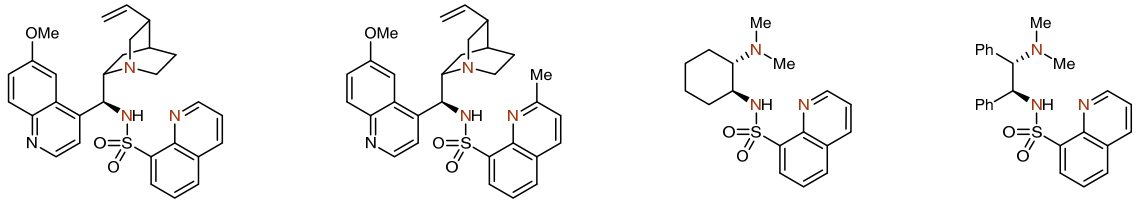
Reaction conditions: **A43** (10.0 equiv.), **E1** (0.20 mmol), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (10.0 equiv.) in cyclohexane (2.0 mL) at rt for 96 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 16 | Reaction condition optimization with acyclic secondary amine **A51** with α-alkyl secondary alkyl chloride **E7**: screening of different ligands



A51 **E7** **104** **2'** **2''**

ligand screening

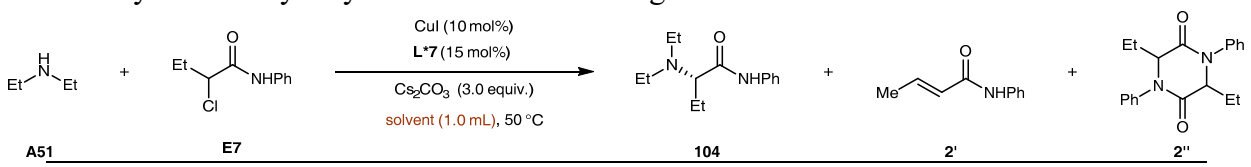


L*4 **L*5** **L*7** **L*10**

Entry	L*	Yield (%)			E.e. (%)
		104	**2'**	**2''**	
1	**L*4**	50	75	trace	70
2	**L*5**	54	68	trace	36
3	**L*7**	84	46	trace	88
4	**L*10**	70	65	trace	81

Reaction conditions: **A51** (0.050 mmol), **E7** (0.075 mmol), CuI (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 50 °C for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 17 | Reaction condition optimization with acyclic secondary amine **A51** with α-alkyl secondary alkyl chloride **E7**: screening of different solvents

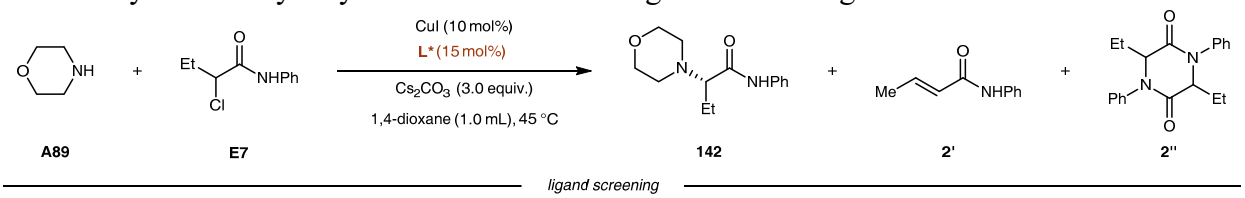


A51 E7 104 2' 2''

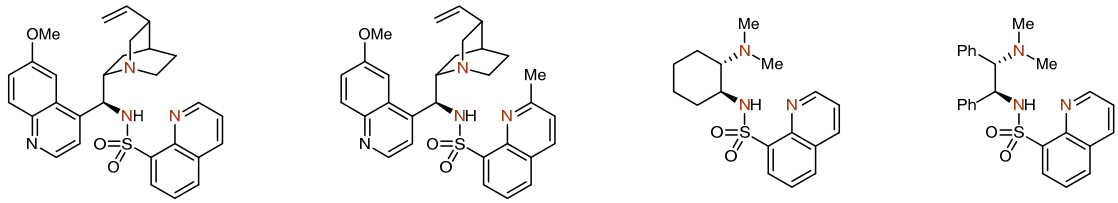
Entry	Solvent	Yield (%)			E.e. (%)
		104	**2'**	**2''**	
1	1,4-dixoane	84	46	trace	88
2	MTBE	52	48	trace	91
3	$^{i}Pr_2O$	29	50	trace	84
4	Et_2O	58	65	trace	90
5	DME	62	50	trace	78
6	THF	78	49	trace	93
7	PhH	82	39	trace	96
8	PhMe	17	10	trace	88
9	$PhCF_3$	68	23	trace	88
10	PhF	44	15	trace	84
11	EtOAc	51	29	trace	66
12	DCM	63	24	trace	88

Reaction conditions: **A51** (0.050 mmol), **E7** (0.075 mmol), CuI (10 mol%), **L*7** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in solvent (1.0 mL) at 50 °C for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 18 | Reaction condition optimization with cyclic secondary amine **A89** with α-alkyl secondary alkyl chloride **E7**: screening of different ligands



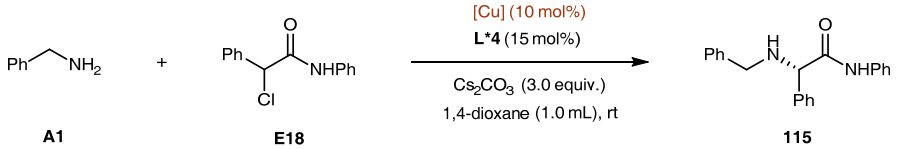
ligand screening



Entry	L*	Yield (%)			E.e. (%)
		142	**2'**	**2''**	
1	**L*4**	99	37	trace	80
2	**L*5**	>99	28	trace	40
3	**L*7**	>99	27	trace	83
4	**L*10**	>99	32	trace	96

Reaction conditions: **A89** (0.050 mmol), **E7** (0.075 mmol), CuI (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1,4-dioxane (1.0 mL) at 45 °C for 72 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 19 | Reaction condition optimization with benzylic primary amine **A1** with α-aryl secondary alkyl chloride **E18**: screening of different copper salts

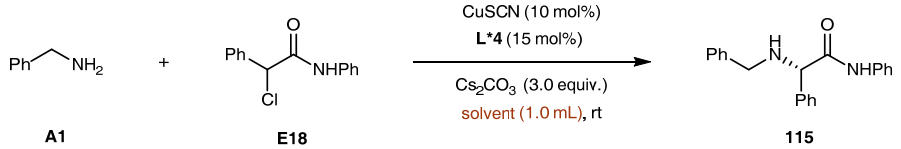


A1 **E18** **115**

Entry	[Cu]	Yield (%)	E.e. (%)
1	$CuBH_4(PPh_3)_2$	60	88
2	$Cu(PPh_3)_3CF_3$	58	86
3	CuSCN	62	90
4	CuCN	52	82
5	$Cu(OTf)_2$	62	86
6	CuI	61	84
7	CuBr	65	87

Reaction conditions: **A1** (0.050 mmol), **E18** (0.075 mmol), [Cu] (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in 1.4-dioxane (1.0 mL) at rt for 72 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

Supplementary Table 20 | Reaction condition optimization with benzylic primary amine **A1** with α-aryl secondary alkyl chloride **E18**: screening of different solvents

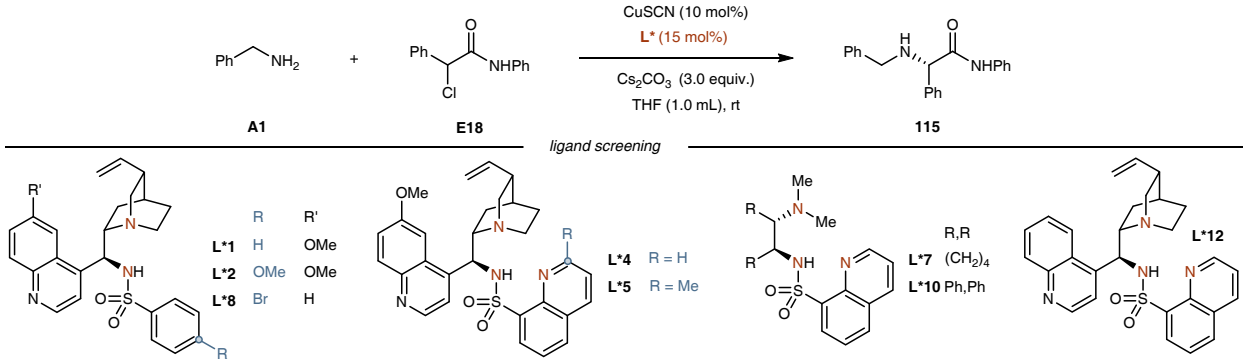


A1 **E18** **115**

Entry	Solvent	Yield (%)	E.e. (%)
1	1,4-dioxane	62	90
2	CH_3CN	80	80
3	DMF	85	31
4	DCM	72	89
5	$^{i}Pr_2O$	10	4
6	THF	52	92
7	cyclohexane	14	0
8	PhH	36	64

Reaction conditions: **A1** (0.050 mmol), **E18** (0.075 mmol), CuSCN (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in solvent (1.0 mL) at rt for 72 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

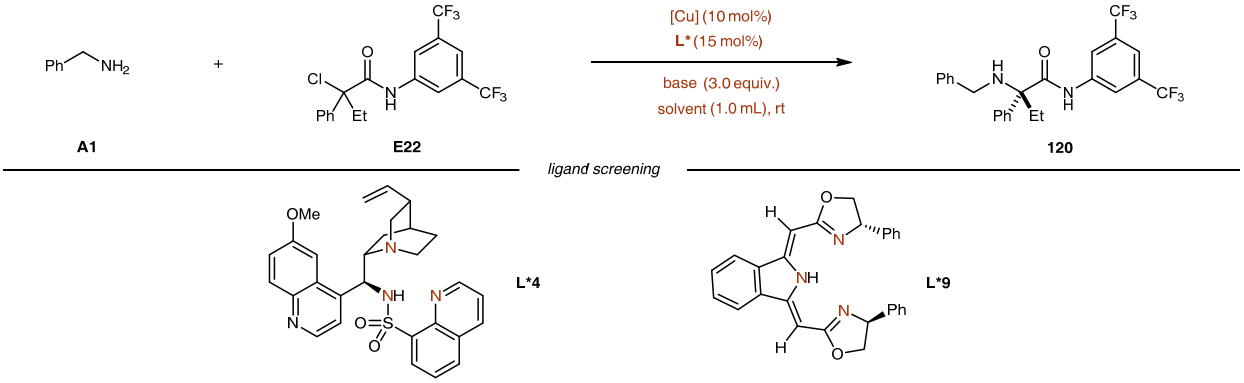
Supplementary Table 21 | Reaction condition optimization with benzylic primary amine **A1** with α-aryl secondary alkyl chloride **E18**: screening of different ligands



Entry	L*	Yield (%)	E.e. (%)
1	**L*1**	65	89
2	**L*2**	64	90
3	**L*4**	52	92
4	**L*5**	40	86
5	**L*7**	54	82
6	**L*8**	72	93
7	**L*10**	75	88
8	**L*12**	54	91

Reaction conditions: **A1** (0.050 mmol), **E18** (0.075 mmol), CuSCN (10 mol%), **L*** (15 mol%), and Cs_2CO_3 (3.0 equiv.) in THF (1.0 mL) at rt for 72 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

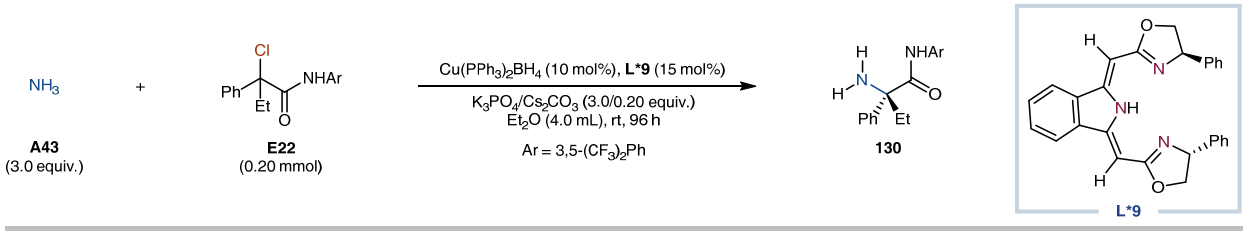
Supplementary Table 22 | Reaction condition optimization with benzylic primary amine **A1** with tertiary alkyl chloride **E22**: screening of different ligands

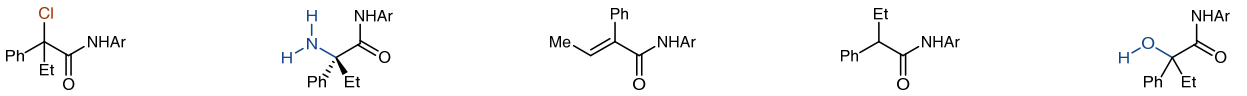


Entry	[Cu]	L*	Base	Solvent	Yield (%)	E.e. (%)
1	CuI	**L*4**	Cs_2CO_3	1,4-dioxane	65	1
2	CuI	**L*9**	Cs_2CO_3	1,4-dioxane	78	41
3	CuI	**L*9**	Cs_2CO_3	MTBE	75	70
4	CuI	**L*9**	K_3PO_4	MTBE	40	81
5	CuBr·SMe_2	**L*9**	K_3PO_4	MTBE	45	86
6[a]	CuBr·SMe_2	**L*9**	K_3PO_4/Cs_2CO_3	MTBE	70	91

Reaction conditions: **A1** (0.060 mmol), **E22** (0.050 mmol), [Cu] (10 mol%), **L*** (15 mol%), and base (3.0 equiv.) in solvent (1.0 mL) at rt for 96 h under argon. The yields are based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis. [a]K_3PO_4/Cs_2CO_3 (3.0/0.20 equiv.) are used.

Supplementary Table 23 | Product distribution in the N-alkylation of ammonia with tertiary alkyl chlorides

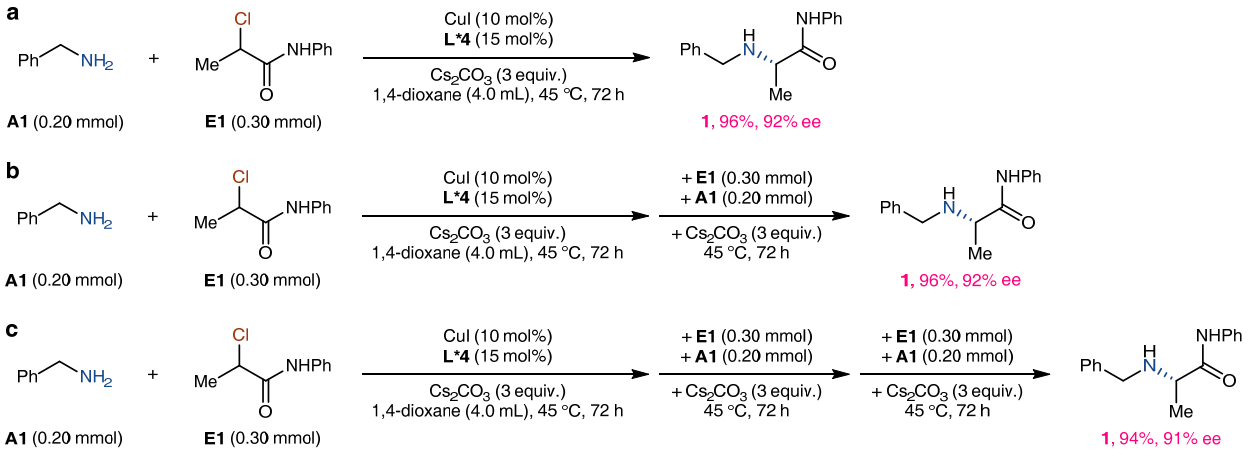




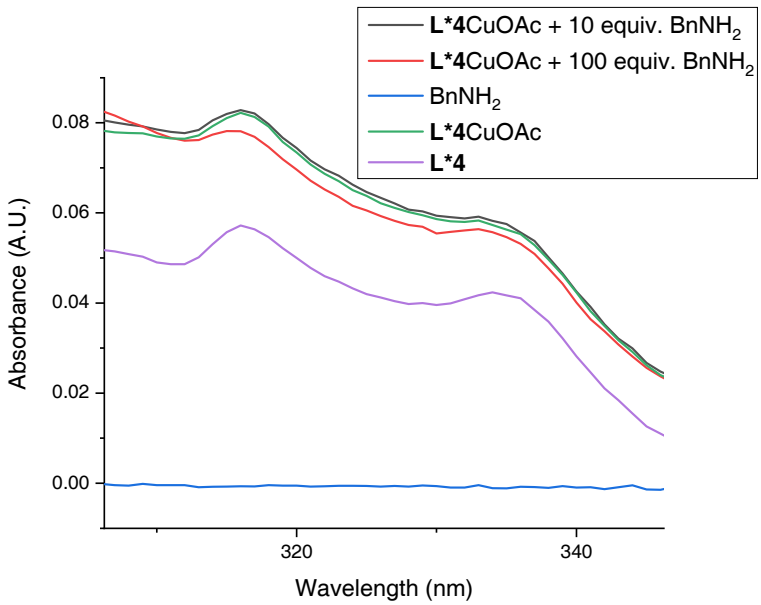
Total: 92%

Reaction conditions: **A43** (3.0 equiv.), **E22** (0.20 mmol), $CuBH_4(PPh_3)_2$ (10 mol%), **L*9** (15 mol%), and K_3PO_4/Cs_2CO_3 (3.0/0.20 equiv.) in Et_2O (4.0 mL) at rt for 96 h under argon. The yields are based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The E.e. values are based on HPLC analysis.

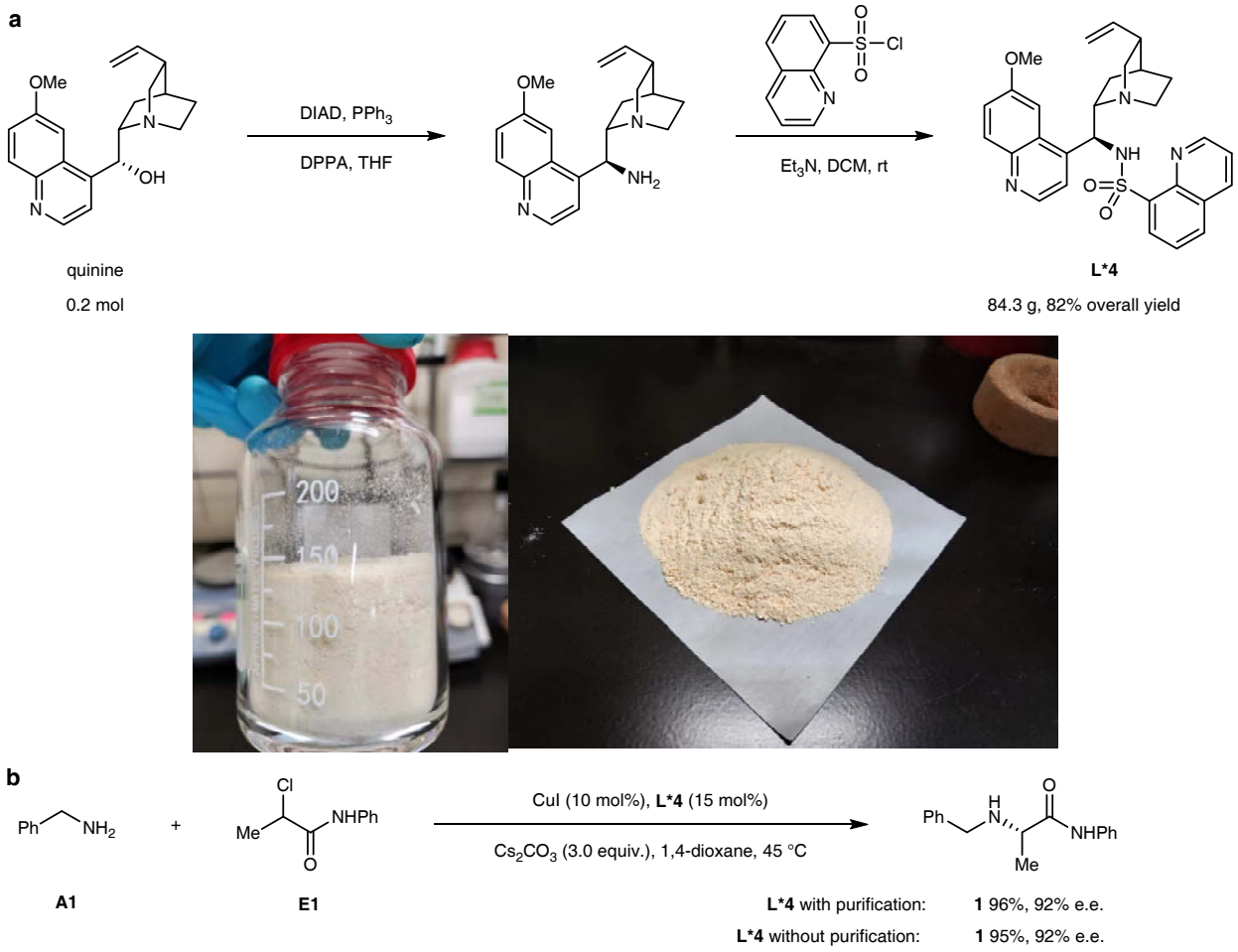
2. Supplementary figures for experiments



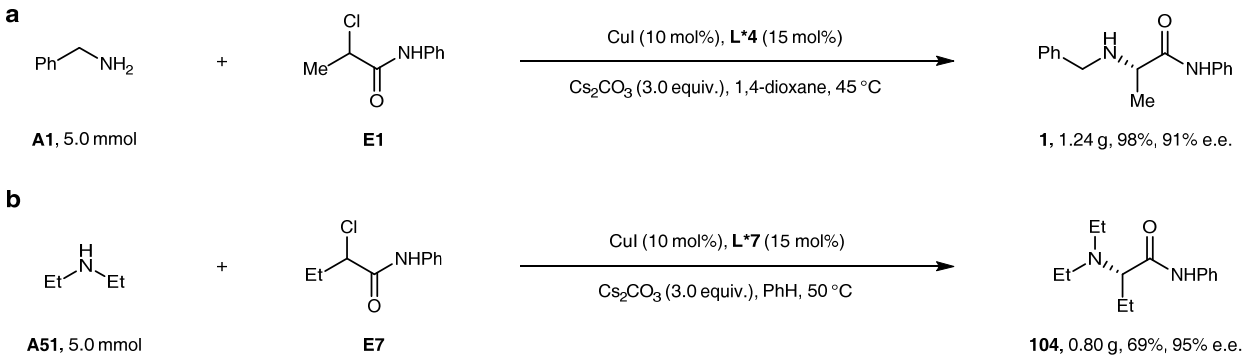
Supplementary Fig. 1 | Catalytic activity of the in situ formed catalyst in reactions with repeated addition of additional substrates. Almost the same reaction yield and enantioselectivity were obtained even after three runs of consecutive reactions over more than one week.



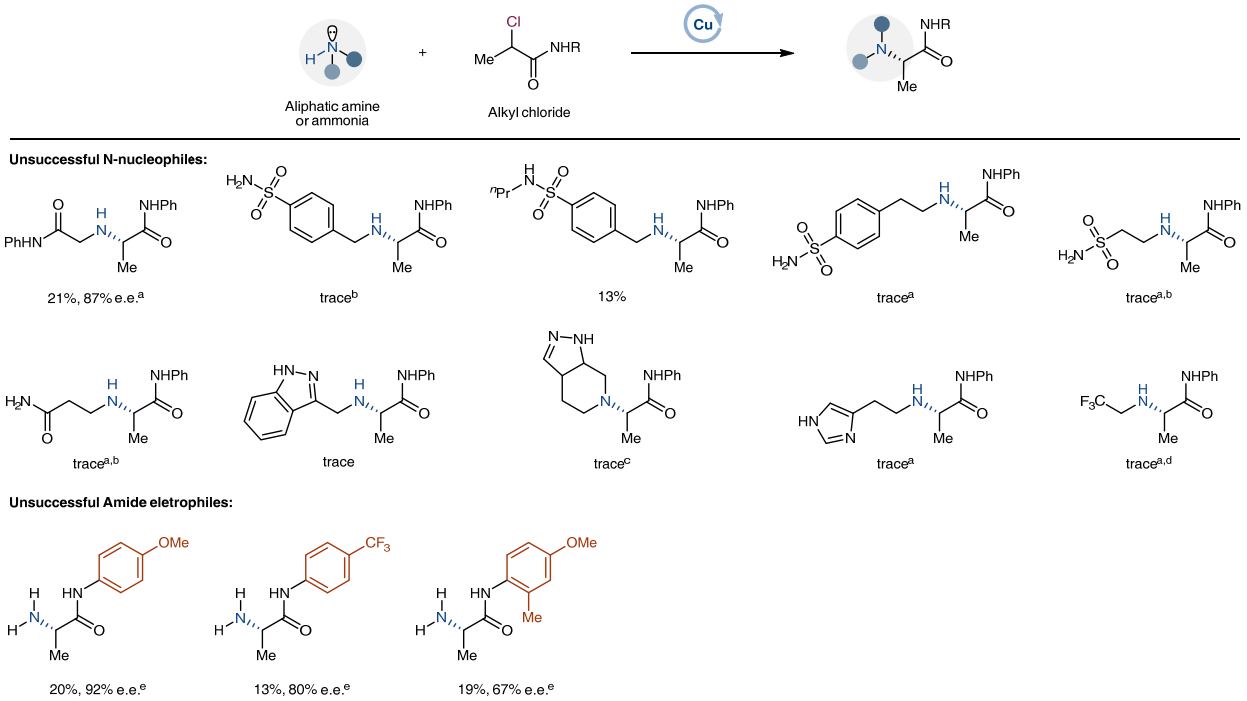
Supplementary Fig. 2 | UV spectroscopic analysis on the stability of L*4CuOAc in the presence of benzylamine. The ligand displacement hardly occurred in the presence of 10 equiv. of benzylamine and only slightly occurred (ca. 16%) when the amount of benzylamine was increased to 100 equiv.



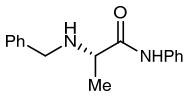
Supplementary Fig. 3 | **a**, Synthesis of ligand **L*4**, **b**, Cross-coupling reaction with the crude ligand **L*4**.



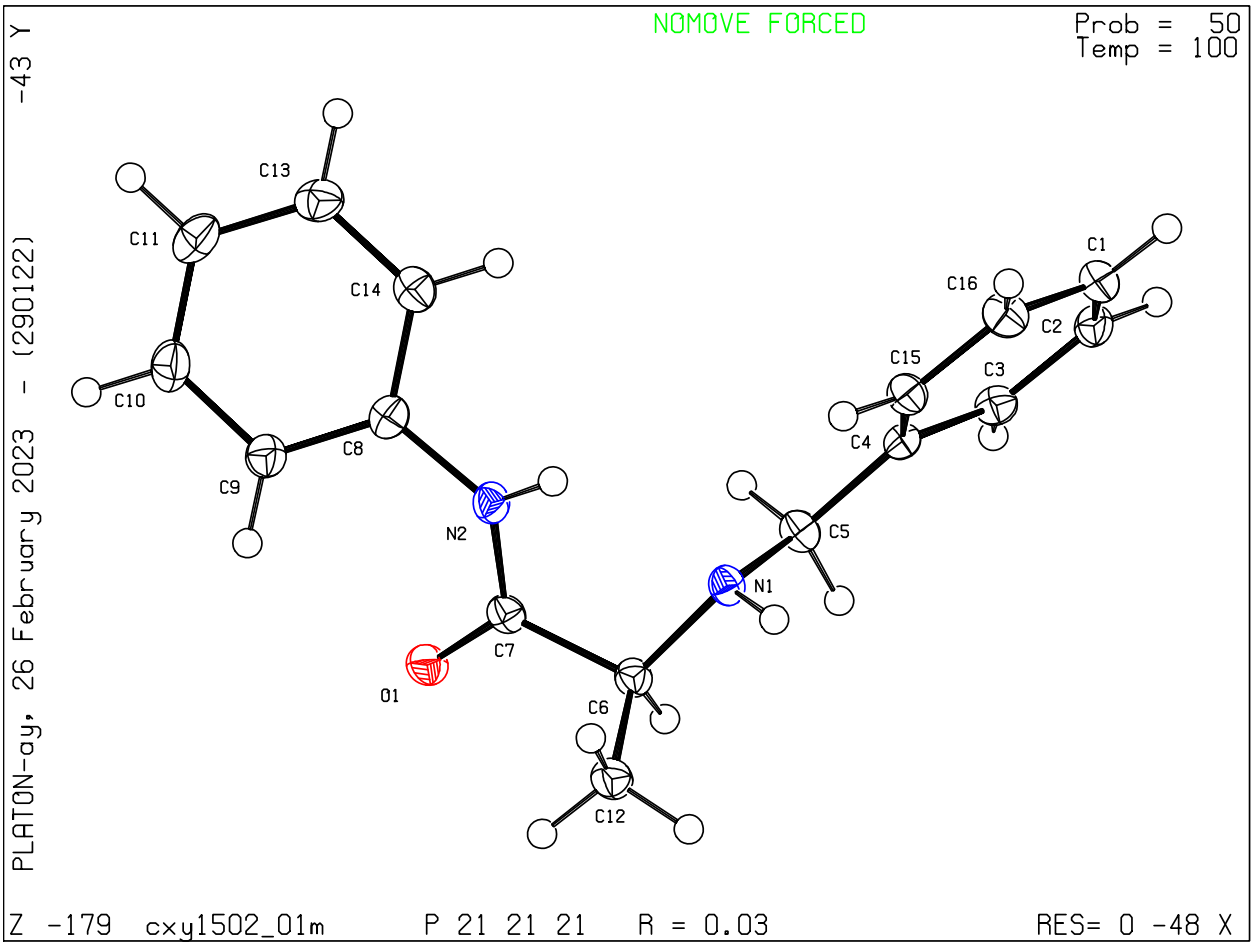
Supplementary Fig. 4 | Gram-scale experiments.



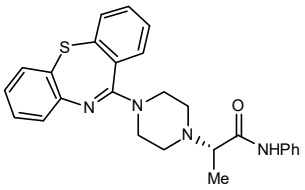
Supplementary Fig. 5 | Unsuccessful examples. Standard reaction conditions: aliphatic amine (0.20 mmol), racemic alkyl chloride (1.5 equiv.), CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (4.0 equiv.) in 1,4-dioxane (4.0 mL) under argon at 45 °C. The yields are isolated. The e.e. values are based on chiral HPLC analysis. [a]**L*5** (15 mol%) in NMP/EtOAc (2.4/1.6 mL) at rt. [b]Amine hydrochloride (0.20 mmol) and Cs_2CO_3 (5.0 equiv.) were used. [c]$CuBH_4(PPh_3)_2$ (10 mol%), **L*5** (15 mol%) in DMF/cyclohexane (3.2/0.8 mL) at rt. [d]Cs_2CO_3 (3.0 equiv.). [e]Ammonia (10.0 equiv.), racemic alkyl chloride (0.2 mmol.), CuI (10 mol%), **L*10** (15 mol%) and Cs_2CO_3 (10.0 equiv.) in cyclohexane (2.0 mL) under argon at rt.



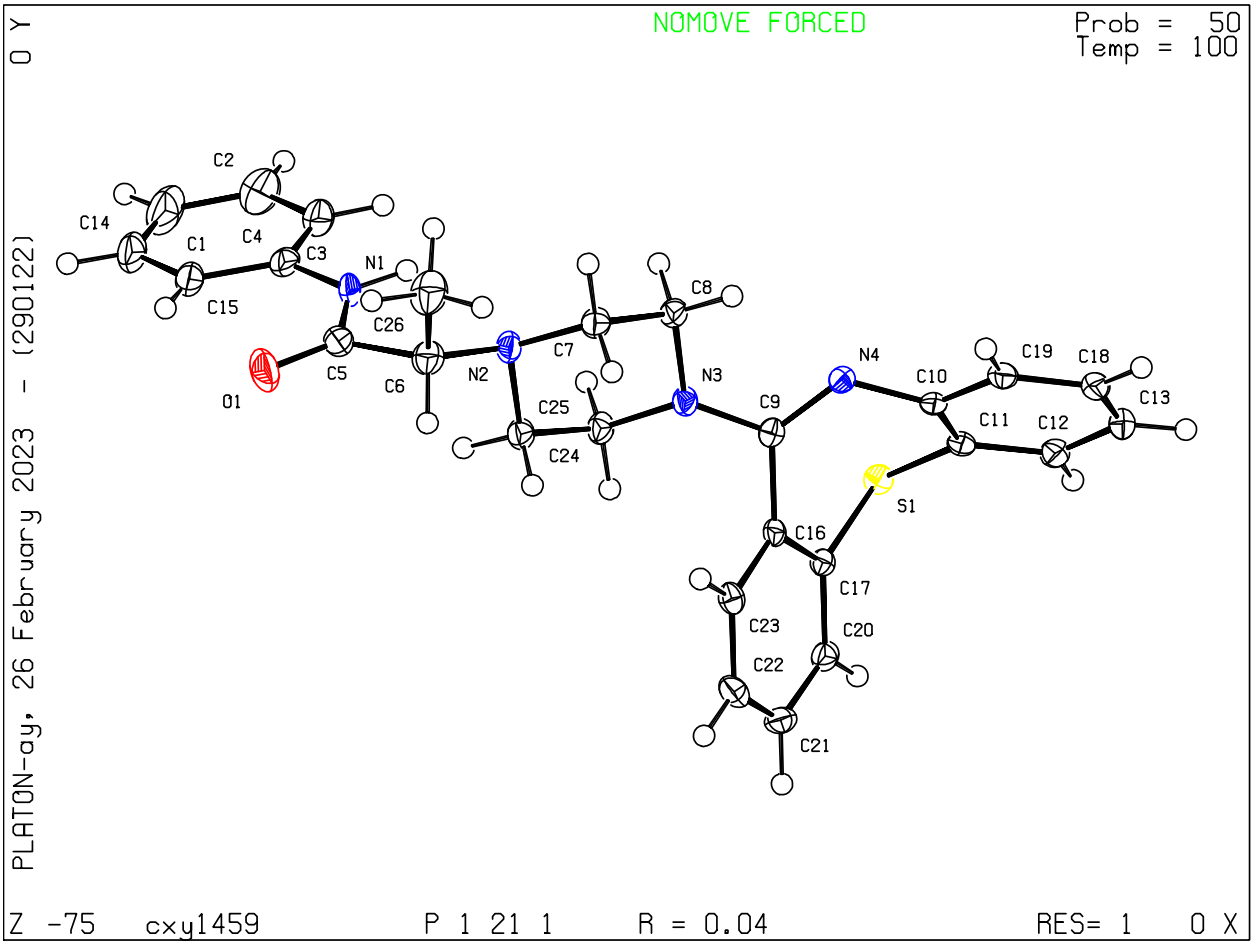
1



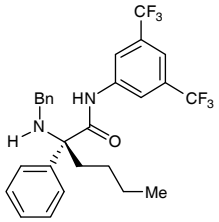
Supplementary Fig. 6 | The X-ray structure of 1.



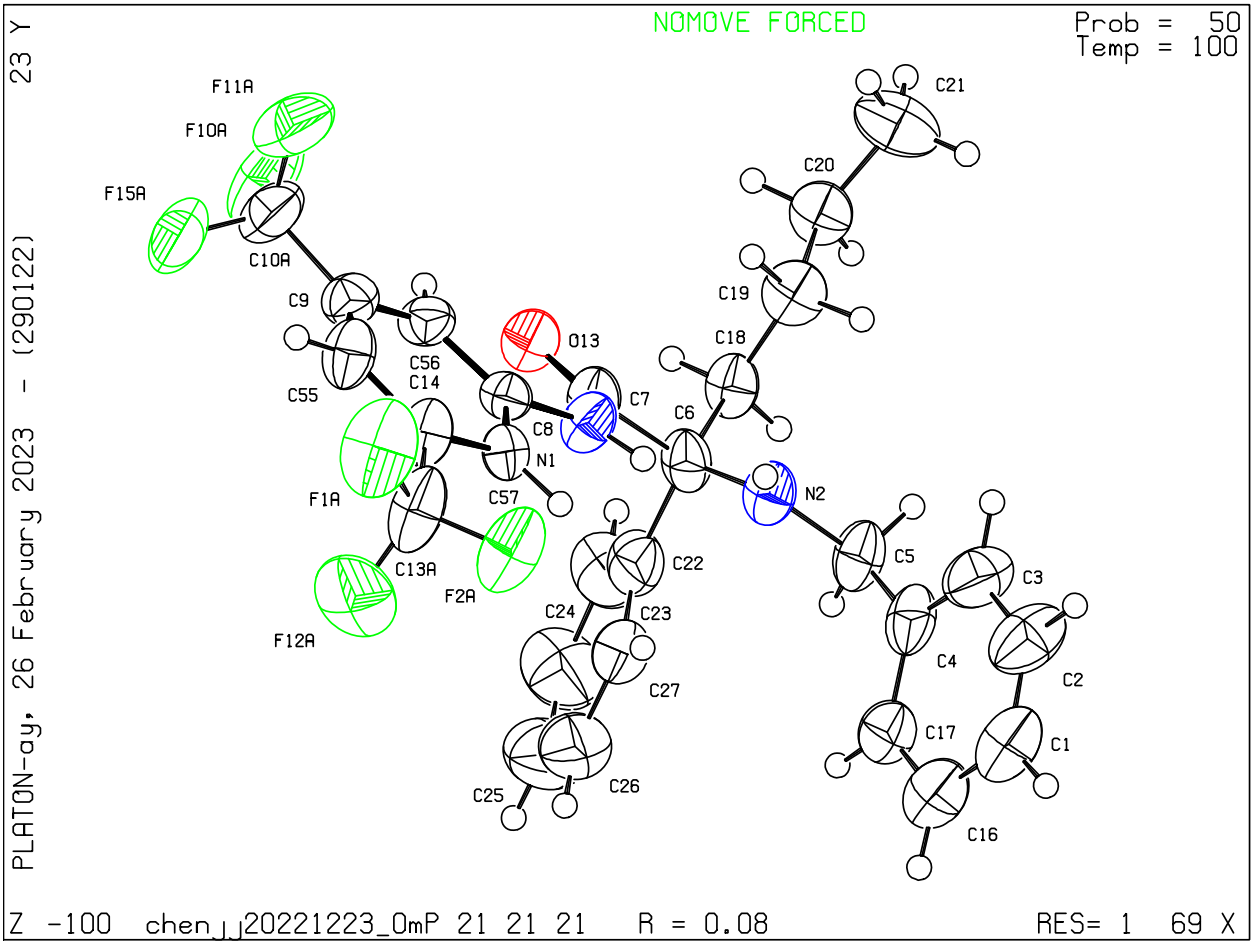
98



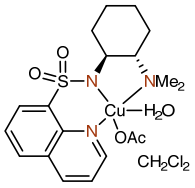
Supplementary Fig. 7 | The X-ray structure of 98.



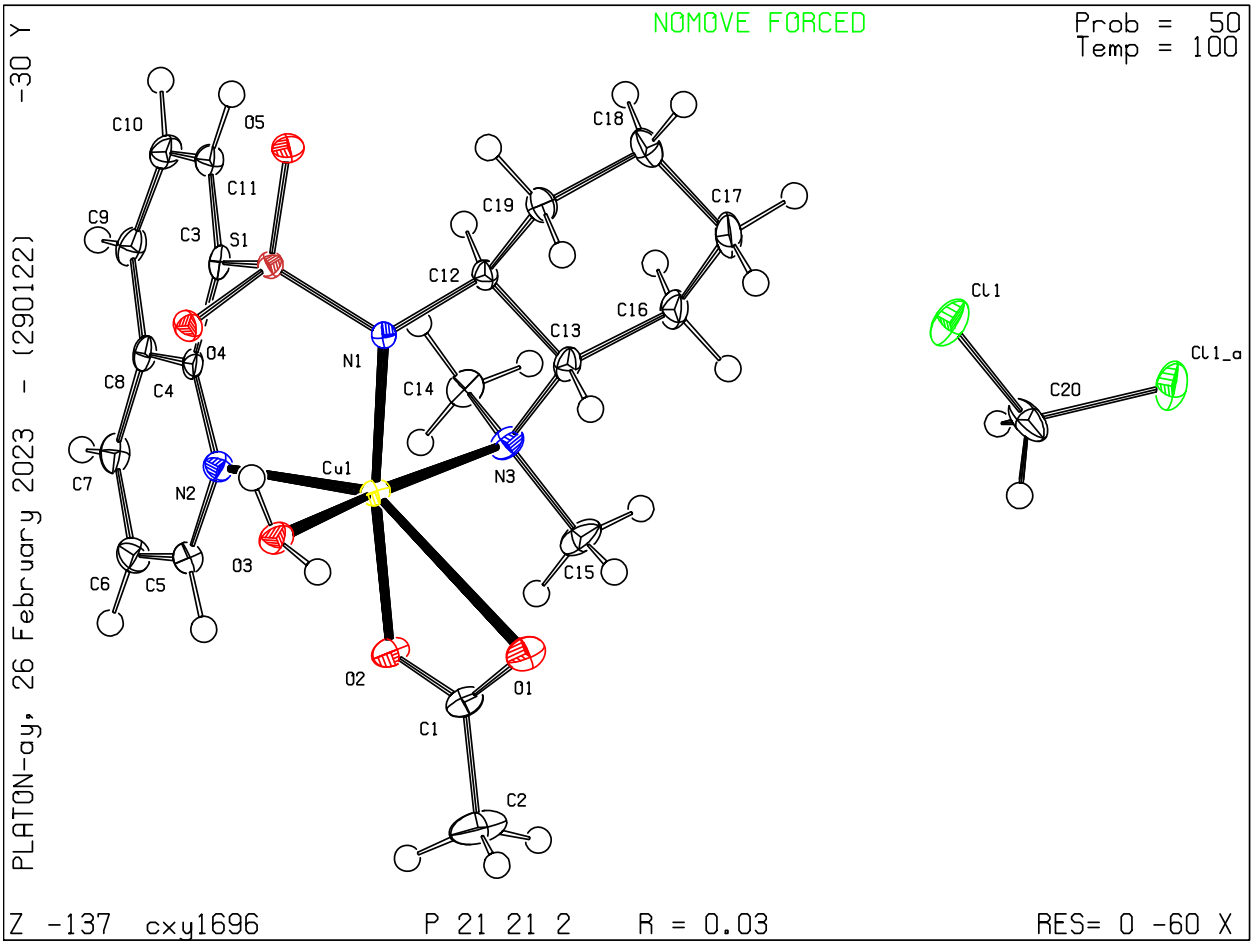
121



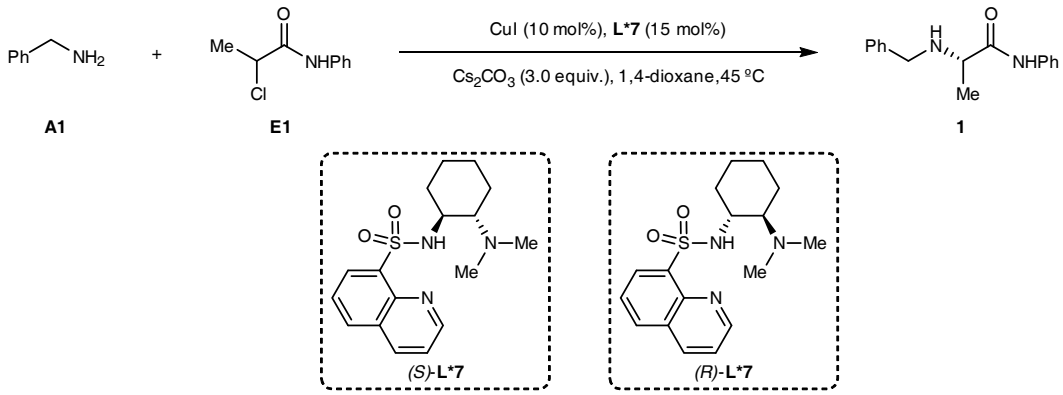
Supplementary Fig. 8 | The X-ray structure of 121.



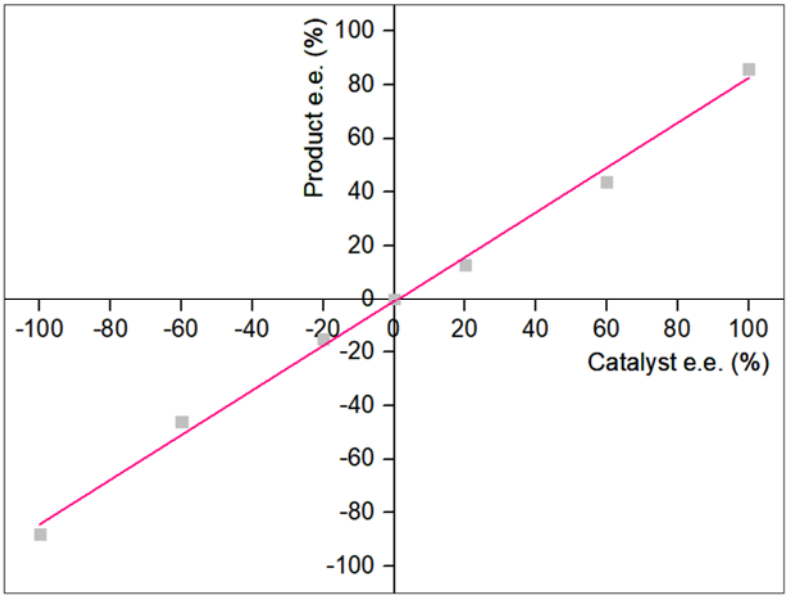
C1



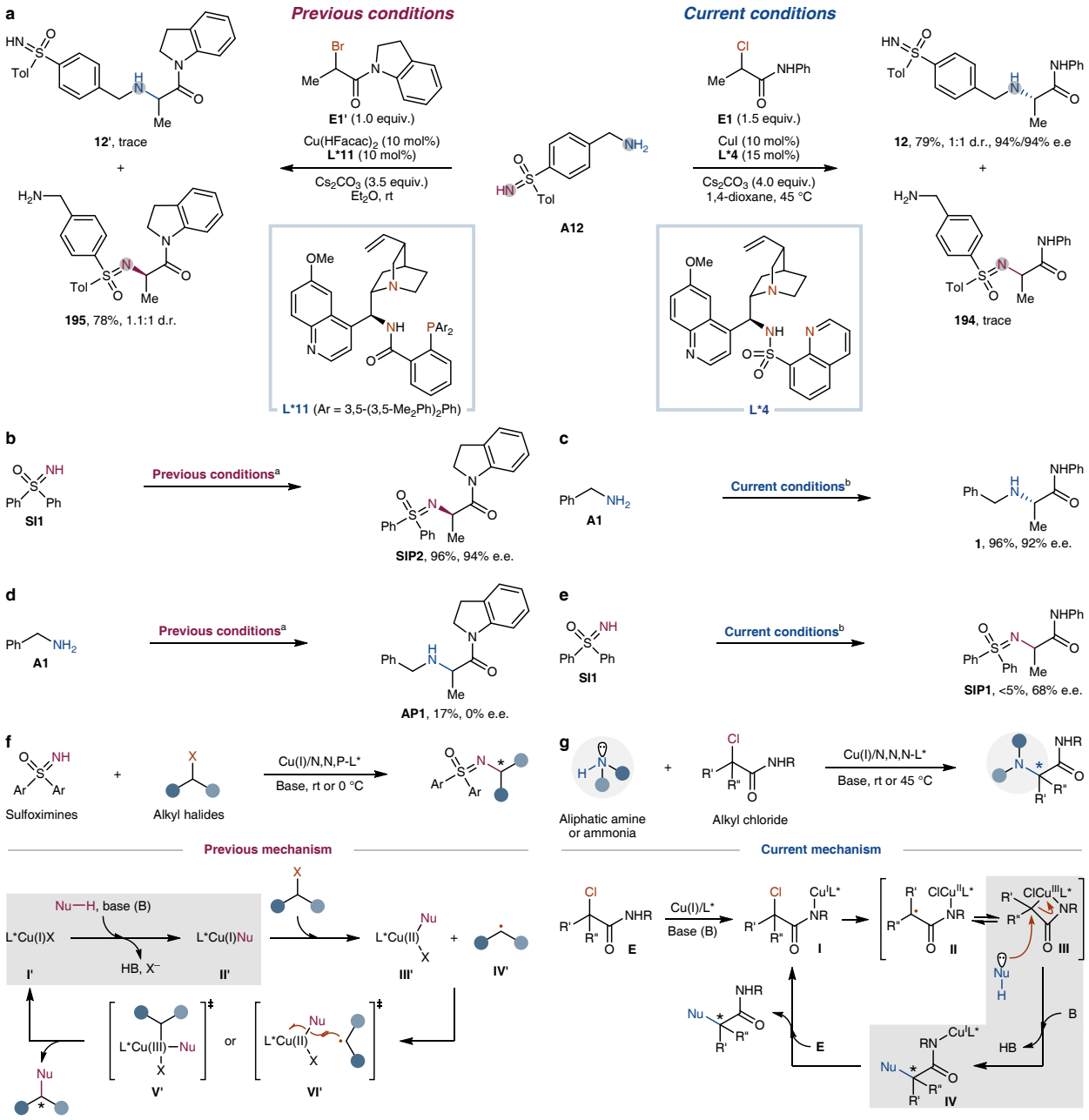
Supplementary Fig. 9 | The X-ray structure of C1.



Entry	Catalyst e.e. (%)	Product e.e. (%)
1	99	86
2	60	44
3	20	13
4	0	0
5	-20	-15
6	-60	-46
7	-99	-88



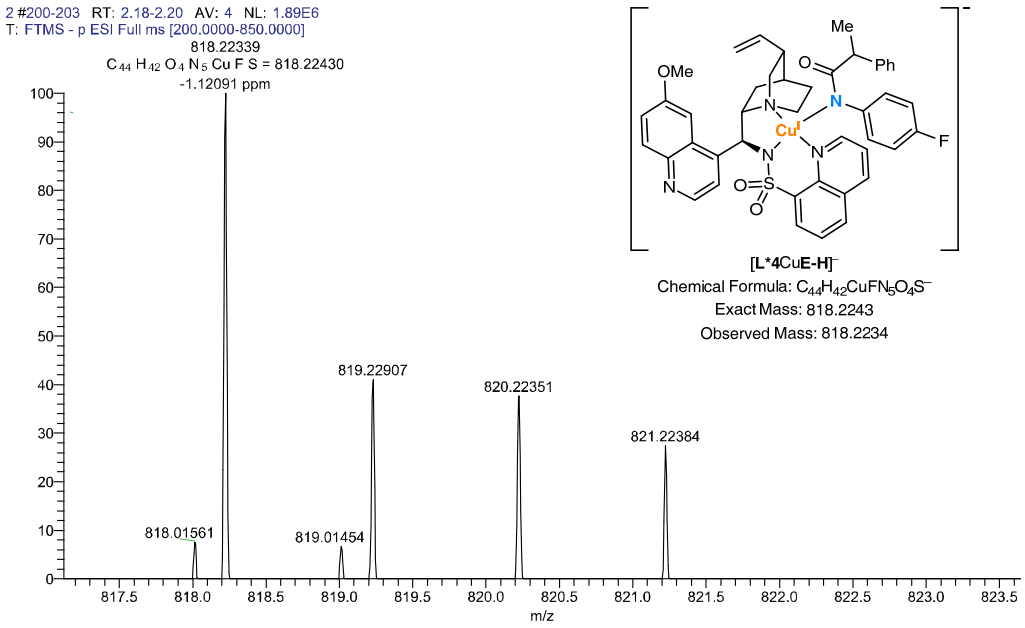
Supplementary Fig. 10 | The non-linear effect of catalyst



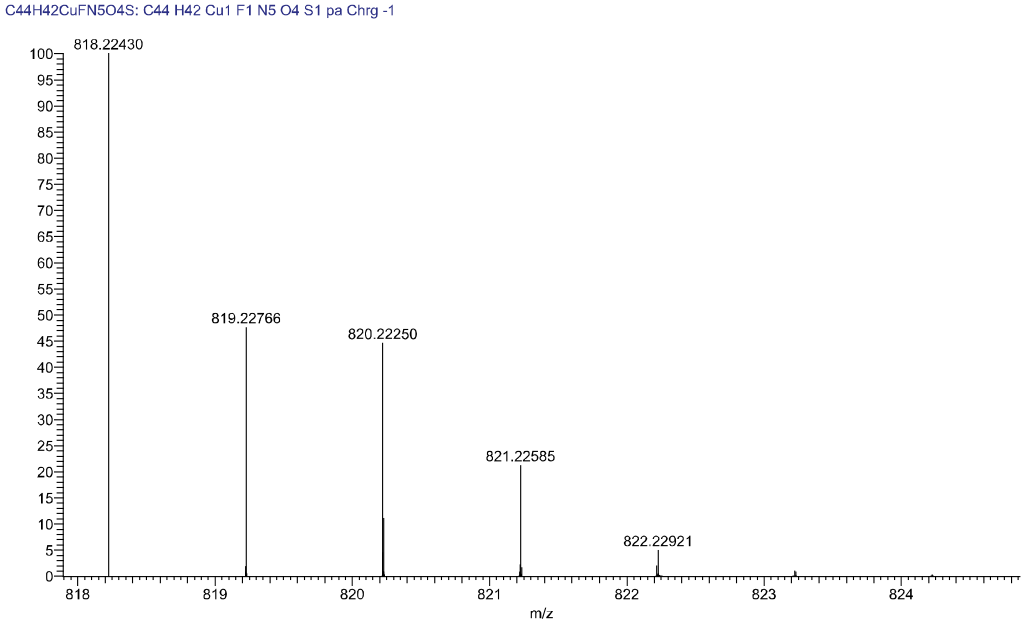
Supplementary Fig. 11 | Mechanistic difference of the current N-alkylation with our previous C–N cross-coupling. a, Substrate **A12** bearing aliphatic amine and sulfoximine functionalities displayed excellent orthogonal chemoselectivity under our current and previously reported conditions. **b** and **c**, The enantioselective C–N cross-coupling of sulfoximine **SI1** and N-alkylation of amine **A1** under the corresponding optimal conditions, respectively. **d**, Amine **A1** delivered the corresponding N-alkylation product **AP1** in low yield with no enantioselectivity under our previous C–N cross-coupling conditions. **e**, Sulfoximine **SI1** hardly participated in the current N-alkylation reaction, delivering the corresponding product **SIP1** in marginal yield with moderate enantioselectivity. **f**, Our previous enantioselective C–N cross-coupling of sulfoximines starts with sulfoximine pronucleophile deprotonation and subsequent coordination to the copper center. **g**, The current enantioselective N-alkylation reaction involves direct outer-

sphere attack of the catalyst-activated alkyl electrophile by amine nucleophiles. [a]Cs_2CO_3 (2.5 equiv.). [b]Cs_2CO_3 (3.0 equiv.).

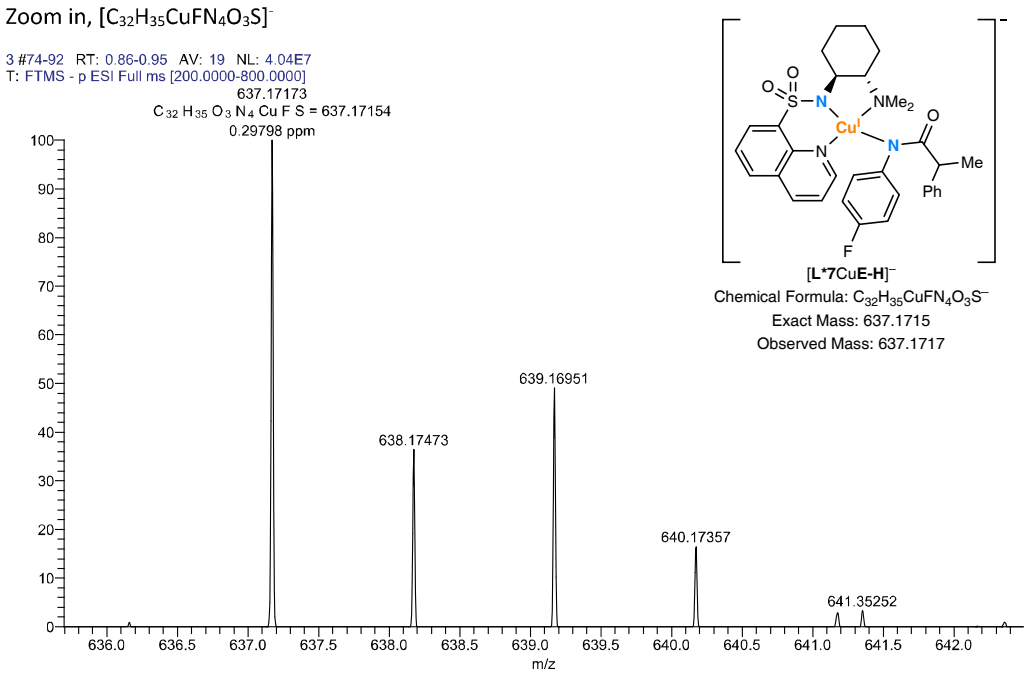
Zoom in, $[C_{44}H_{42}CuFN_5O_4S]^-$ weak

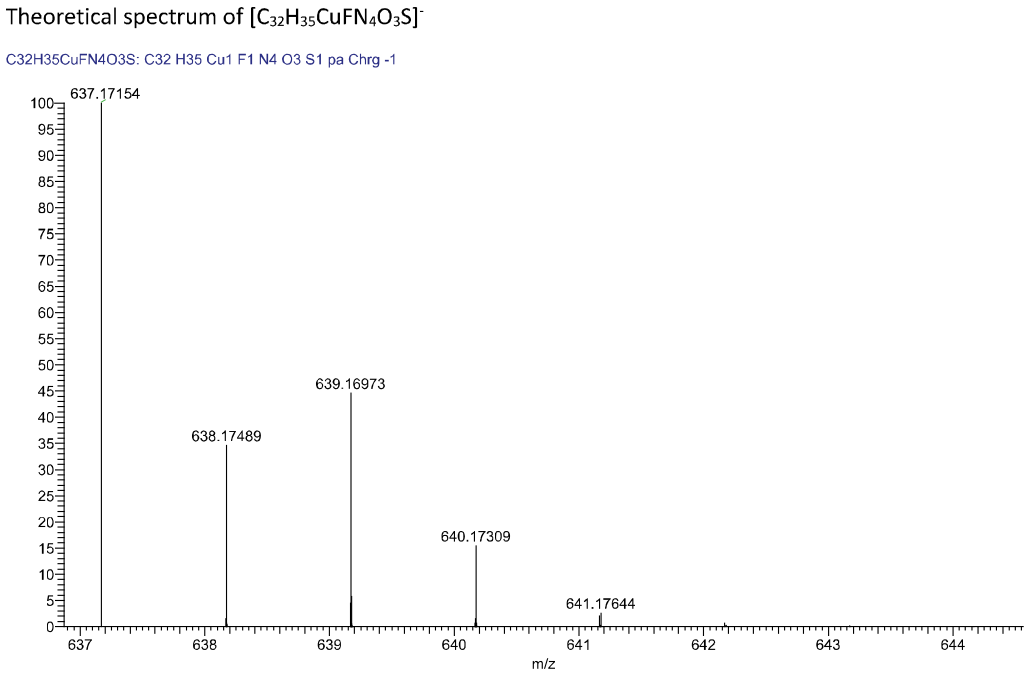


Theoretical spectrum of $[C_{44}H_{42}CuFN_5O_4S]^-$

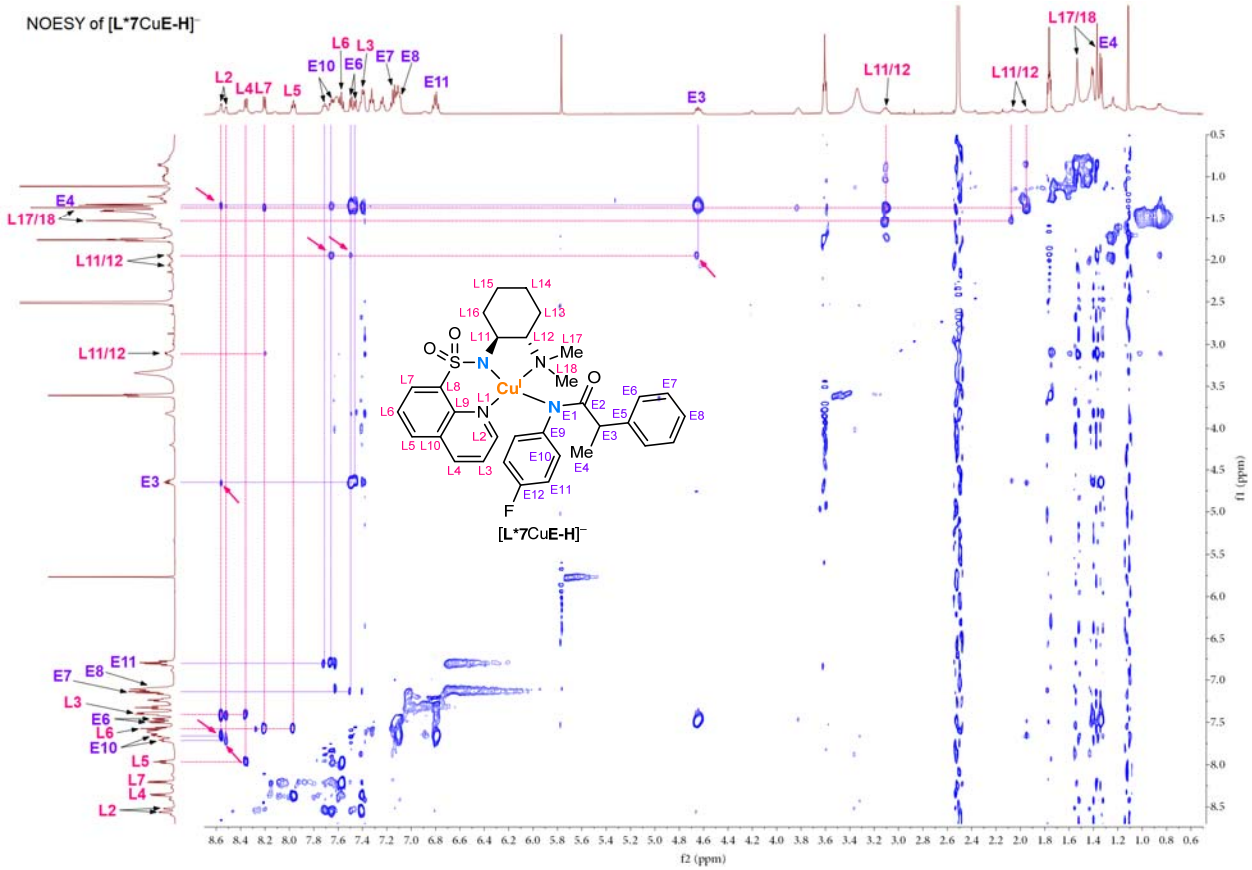


Supplementary Fig. 12 | High resolution mass spectrum of [L*4CuE-H]⁻.

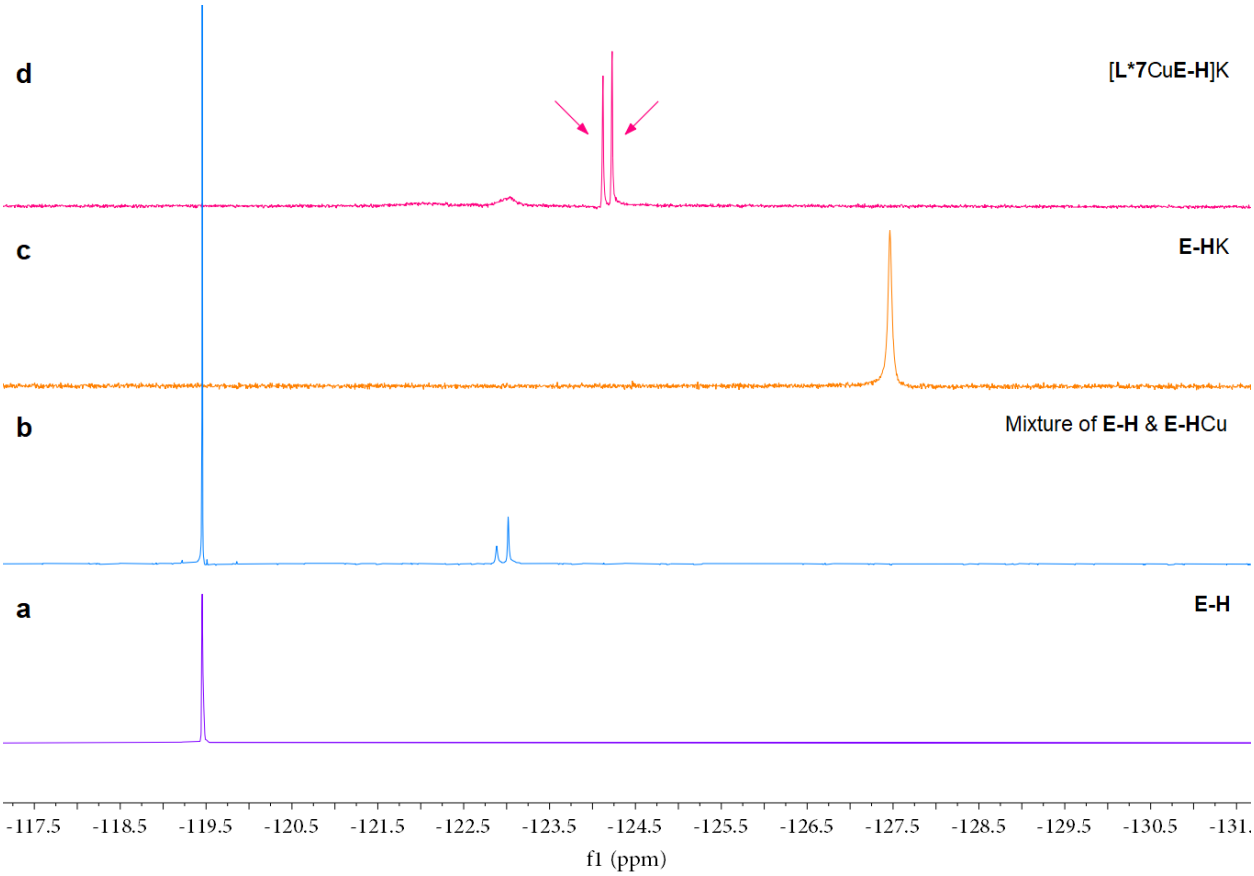




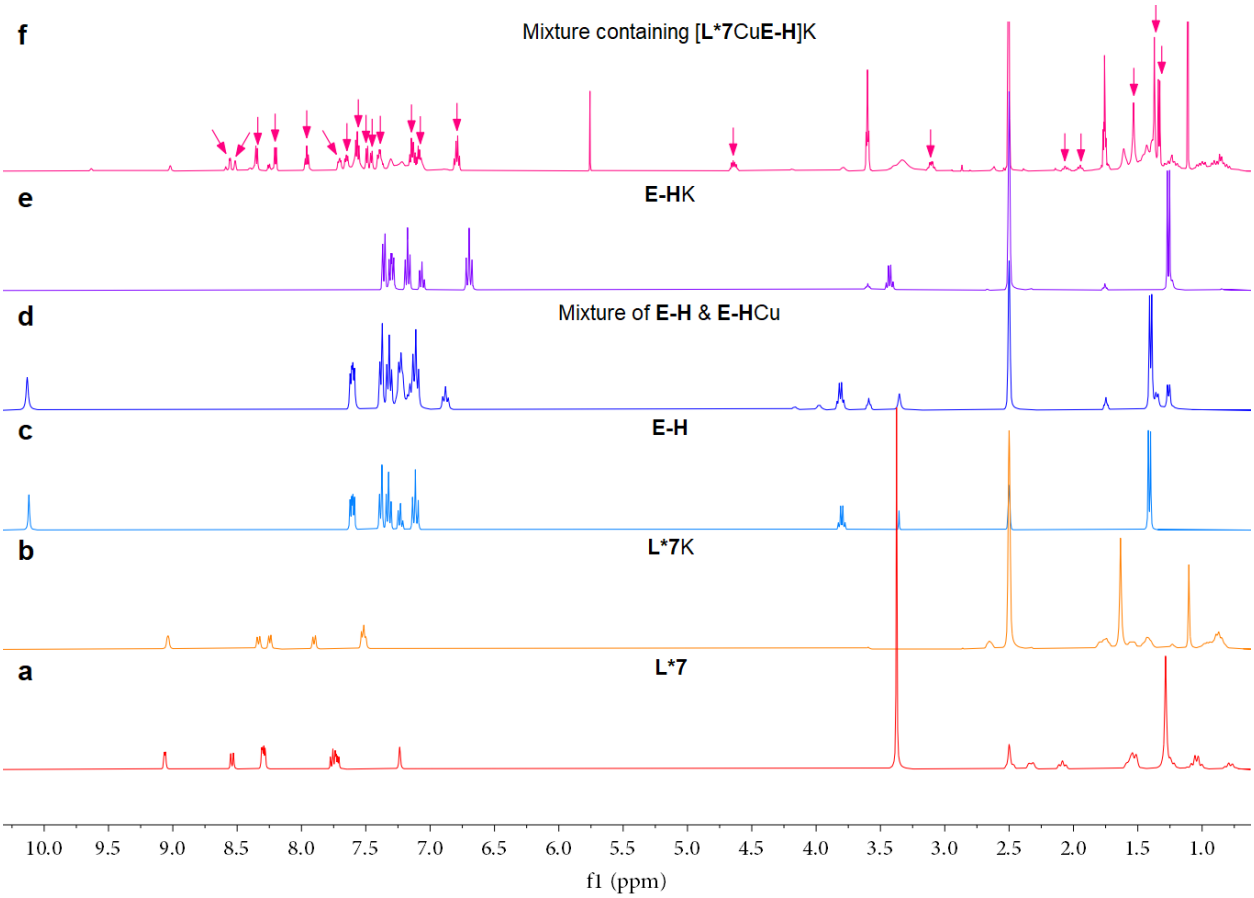
Supplementary Fig. 13 | High resolution mass spectrum of [L*7CuE-H]⁻.



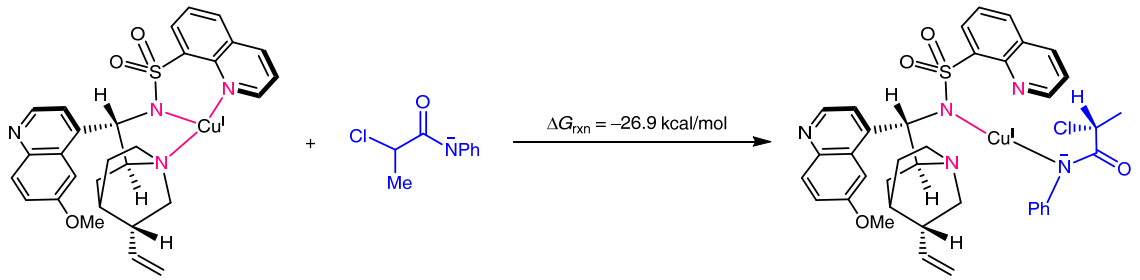
Supplementary Fig. 14 | NOESY spectrum supporting the formation of [L*7CuE-H]K. A series of cross-peaks (indicated by pink arrows) corresponding to hydrogen atoms of **L*7** and **E-H**, respectively, were identified in this spectrum, which indicated the coexistence of these two fragments within one complex molecule.



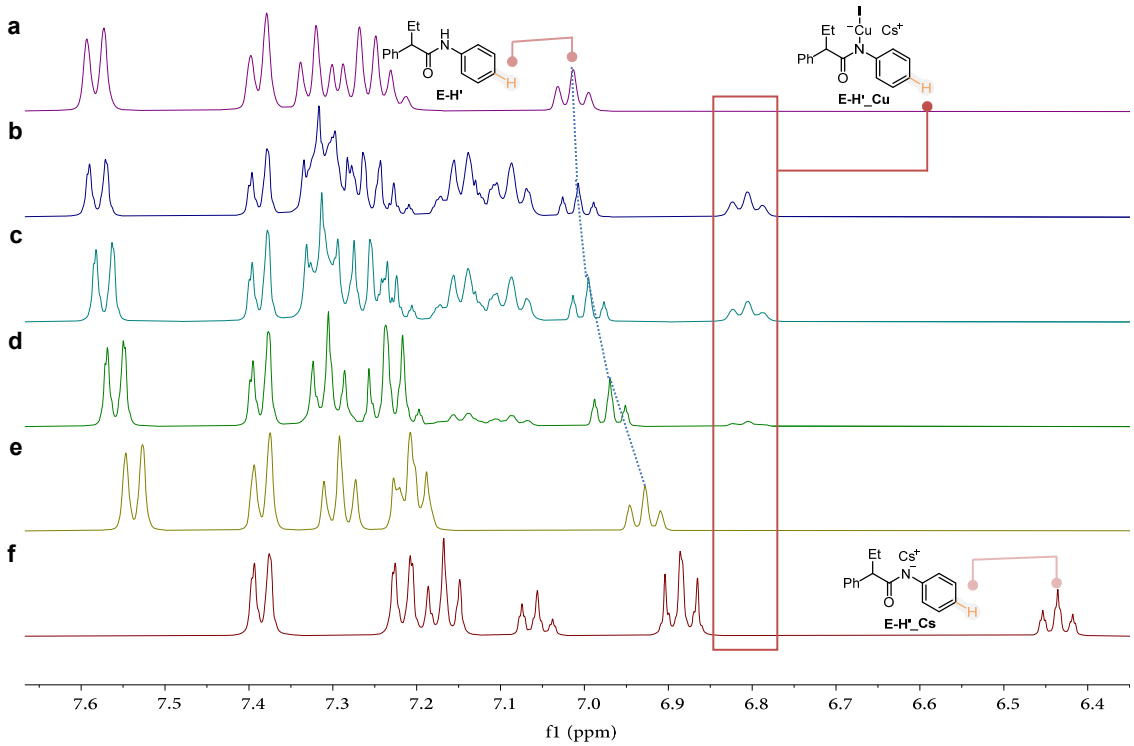
Supplementary Fig. 15 | ^{19}F NMR spectra supporting the formation of [L*7CuE-H]K. The two peaks (indicated by pink arrows) corresponding to the proposed [**L*7**Cu**E-H**]K appeared downfield relative to that of **E-H**K and upfield relative to that of **E-H** and **E-H**Cu, respectively, which were consistent with the corresponding electron densities of the phenyl rings attached to the amide N. **a**, ^{19}F NMR spectrum of **E-H** in DMSO-*d*6. **b**, ^{19}F NMR spectrum of the crude reaction mixture in DMSO-*d*6, which was prepared by stirring **E-H**, CuI (1.0 equiv.), and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **c**, ^{19}F NMR spectrum of **E-H**K in DMSO-*d*6, which was prepared by stirring **E-H** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 2 h. **d**, ^{19}F NMR spectrum of [**L*7**Cu**E-H**]K in DMSO-*d*6, which was prepared by stirring **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF at rt under argon for 1 h.



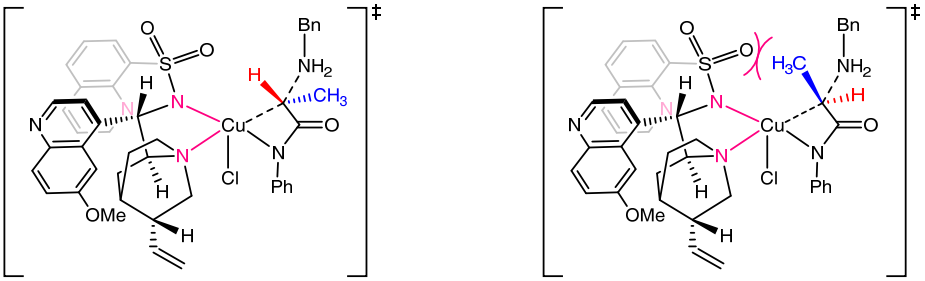
Supplementary Fig. 16 | ^{1}H NMR spectra supporting the formation of [L*7CuE-H]K. The two sets of peaks (indicated by pink arrows) corresponding to the proposed [**L*7**Cu**E-H**]K were identified by comparing the ^{1}H NMR spectrum of the mixture with that of others. **a**, ^{1}H NMR spectrum of **L*7** in DMSO-*d*6. **b**, ^{1}H NMR spectrum of **L*7**K in DMSO-*d*6, which was prepared by stirring **L*7** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **c**, ^{1}H NMR spectrum of **E-H** in DMSO-*d*6. **d**, ^{1}H NMR spectrum of the crude reaction mixture in DMSO-*d*6, which was prepared by stirring **E-H**, CuI (1.0 equiv.), and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **e**, ^{1}H NMR spectrum of **E-H**K in DMSO-*d*6, which was prepared by stirring **E-H** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 2 h. **f**, ^{1}H NMR spectrum of [**L*7**Cu**E-H**]K in DMSO-*d*6, which was prepared by stirring **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF at rt under argon for 1 h.



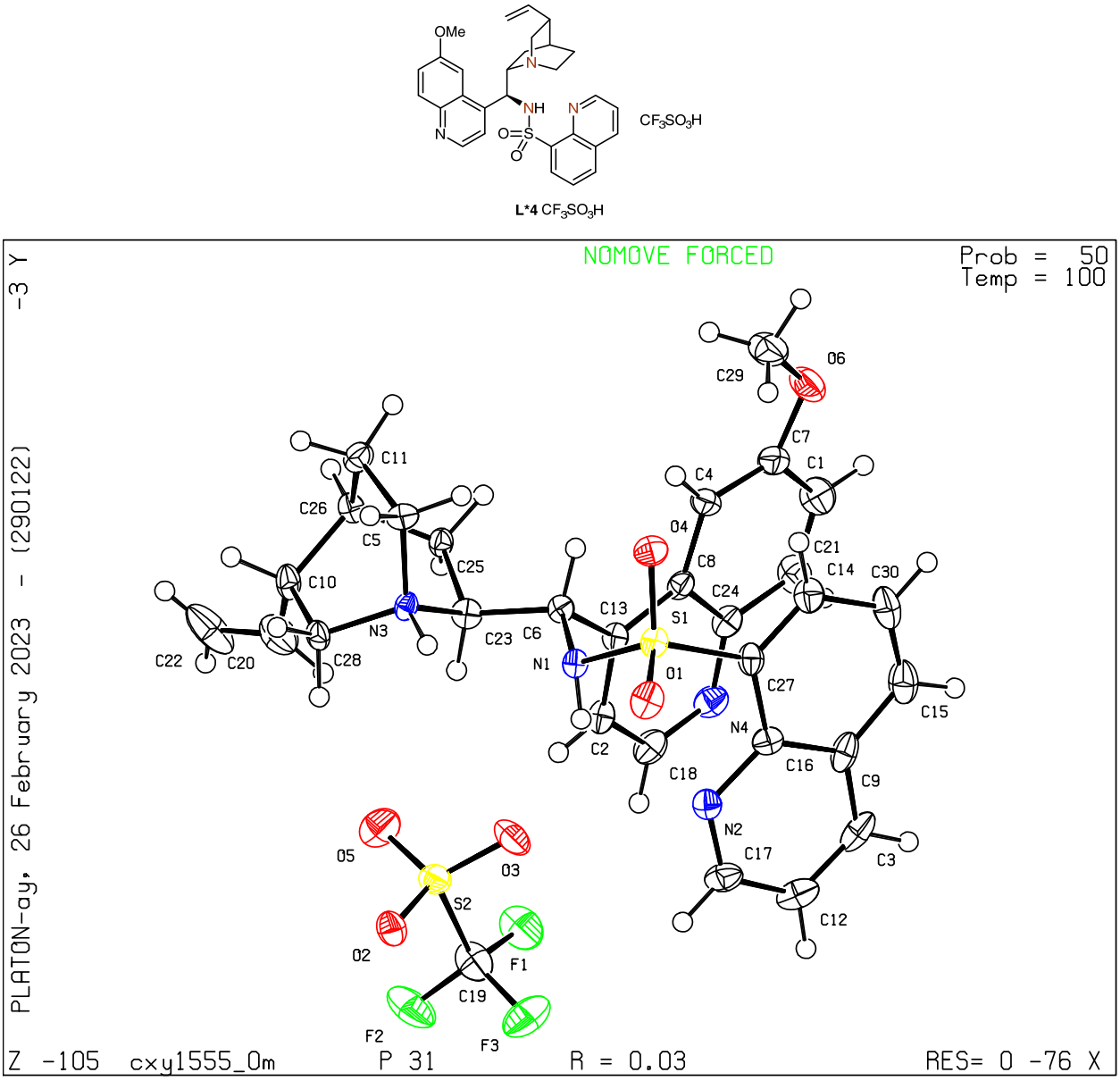
Supplementary Fig. 17 | Computational study on the complexation of L*4Cu(I) with the deprotonated amide substrate. Calculations were carried out at the B3LYP-D3(BJ)/6-311+G(d, p)-SDD-SMD(1,4-dioxane)// B3LYP-D3(BJ)/6-31G(d)-LANL2DZ level of theory.



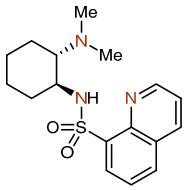
Supplementary Fig. 18 | Deprotometalation of model amide in presence of CuI and Cs_2CO_3. **a**, ^{1}H-NMR spectrum of **E-H'** (25 mM) in DMSO-*d*$_6$. **b**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (1.0 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-*d*$_6$. **c**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (0.50 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-*d*$_6$. **d**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (0.25 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-*d*$_6$. **e**, ^{1}H-NMR spectrum of **E-H'** (25 mM) and Cs_2CO_3 (2.0 equiv.) in DMSO-*d*$_6$. **f**, ^{1}H-NMR spectrum of **E-H'_Cs** (25 mM) in DMSO-*d*$_6$.



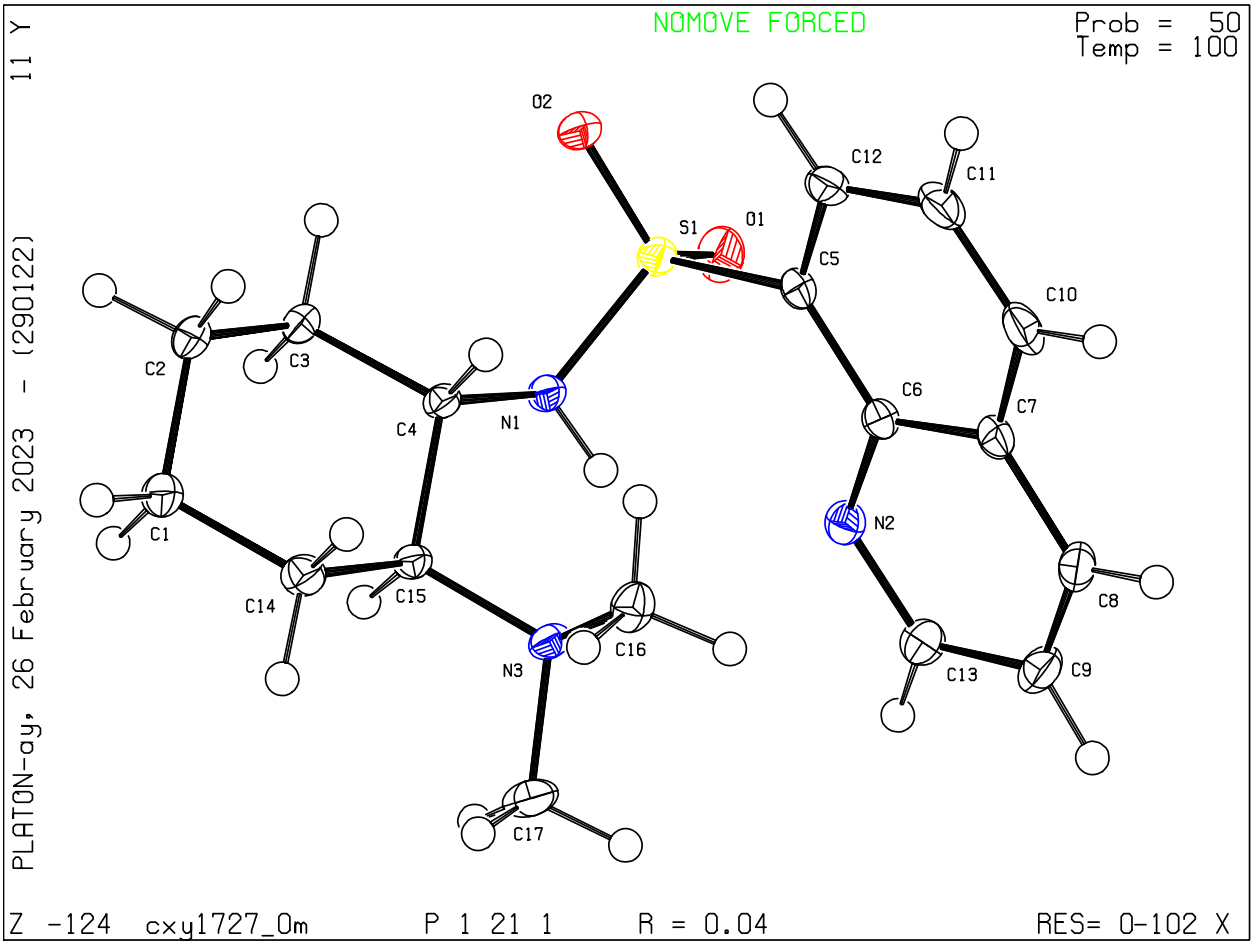
Supplementary Fig. 19 | Proposed enantiodiscrimination transition states. The steric clash between the sulfonyl group in **L*4** and the α-methyl group in **E1** likely renders the transition state **TS-Minor** unfavorable. In this case, the favorable transition state **TS-Major** gives rise to product **1** of an *S* absolute configuration, which is consistent with the experimental results.



Supplementary Fig. 20 | The X-ray structure of L*4.



L*7

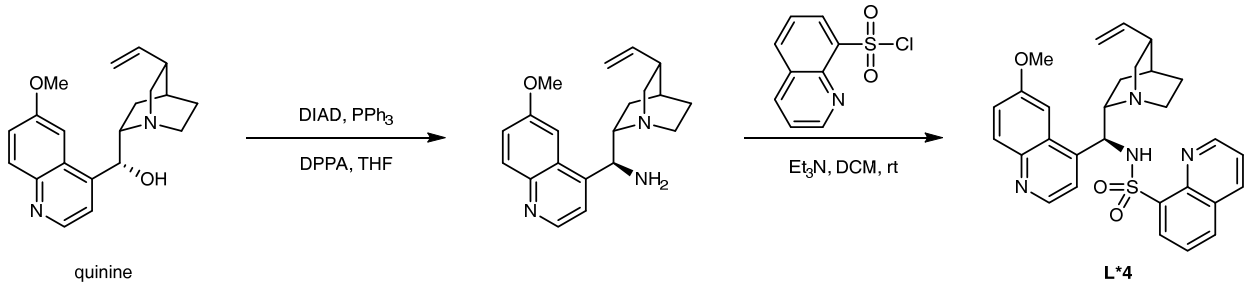


Supplementary Fig. 21 | The X-ray structure of L*7.

3. General information

Most of reactions were carried out under argon atmosphere using Schlenk techniques. Reagents were purchased at the highest commercial quality and used without further purification, unless otherwise stated. CH_2Cl_2, THF and DMF were purified and dried using a solvent-purification system that contained activated alumina under argon. CuI was purchased from Sigma-Aldrich. $CuBH_4(PPh_3)_2$ was purchased from TCI. CuSCN was purchased from aladdin. Cs_2CO_3 was purchased from Bide Pharmatech Ltd. and treated by hot gun (approximate 300 to 400 °C) for 2 minutes in vacuum. Anhydrous 1,4-dioxane, NMP, EtOAc, PhH, and cyclohexane was purchased from J&K Scientific. Analytical thin layer chromatography (TLC) was performed on precoated silica gel 60 GF254 plates. Flash column chromatography was performed using Tsingdao silica gel (60, particle size 0.040–0.063 mm). As the eluent, the petroleum ether (PE), EtOAc, CH_2Cl_2 and CH_3OH were purchased from Shanghai Titan Scientific Co. Ltd without further purification. Visualization on TLC was achieved by use of UV light (254 nm), iodine on silica gel or basic $KMnO_4$ indicator. NMR spectra were recorded on Bruker DRX-400 and DPX-600 spectrometers at 400 or 600 MHz for ^1H NMR, 100 or 150 MHz for ^{13}C NMR and 376 MHz for ^{19}F NMR, respectively, in $CDCl_3$, CD_3OD or DMSO-d_6 with tetramethylsilane (TMS) as internal standard. The chemical shifts are expressed in ppm and coupling constants are given in Hz. Data for ^1H NMR are recorded as follows: chemical shift (ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quarter; p, pentet, m, multiplet), coupling constant (Hz), integration. Data for ^{13}C NMR are reported in terms of chemical shift (δ, ppm). Mass spectrometric data were obtained using Bruker Apex IV RTMS. Enantiomeric excess (e.e.) was determined using Agilent high-performance liquid chromatography (HPLC) with a Hatachi detector (at appropriate wavelength) or SHIMADZU LC-20AD with SPD-20AV detector. Column conditions are reported in the experimental section below. X-ray diffraction was measured on a 'Bruker APEX-II CCD' diffractometer with Cu–Kα or Mo–Kα radiation.

4. Synthesis of ligand

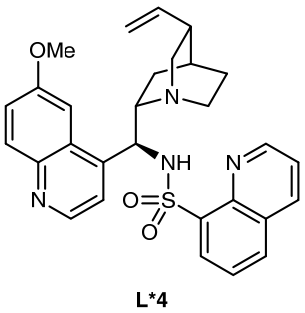


General procedure for preparation of L*4:

According to the literature reported procedure[1] with slightly modification. Under an argon atmosphere, to a solution of quinine (16.2 g, 50.0 mmol, 1.0 equiv.) and triphenylphosphine (PPh_3) (17.0 g, 65.0 mmol, 1.3 equiv.) in THF (150 mL) was added diisopropyl azodicarboxylate (DIAD) (13.1 g, 65.0 mmol, 1.3 equiv.) at once and stirred for 15 min at 0 °C. Then the reaction mixture was added diphenyl phosphoryl azide (DPPA) (15.8 g, 65.0 mmol, 1.3 equiv.) dropwise over 15 min at 0 °C. The reaction was allowed to warm to room temperature and stirred for 20 h. Next the reaction was heated to 50 °C for 4 h. Another portion of PPh_3 (18.3 g, 70.0 mmol, 1.4 equiv.) was then added and the reaction stirred at 50 °C for an additional 4 h. After cooling the solution to room temperature, H_2O (20 mL) was added and the solution stirred overnight at room temperature. The mixture was concentrated under reduced pressure, dissolved in CH_2Cl_2 (50 mL) and diluted with HCl aqueous solution (3.0 M, 50 mL) The aqueous layer was washed with CH_2Cl_2 (50 mL × 3), alkalinized with ammonium hydroxide and washed with CH_2Cl_2 (50 mL × 3). The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (CH_2Cl_2/CH_3OH = 100/1 to 10/1) to afford the product quinine-derived chiral amine as a yellowish oil (14.9 g, 92% yield). All spectral data matched that reported in the literature.[1]

According to the literature reported procedure[2] with slightly modification. Under an argon atmosphere, to a solution of quinine-derived chiral amine (1.29 g, 4.0 mmol, 1.0 equiv.) and quinoline-8-sulfonyl chloride (0.95 g, 4.2 mmol, 1.05 equiv.) in CH_2Cl_2 (20 mL) was added Et_3N (0.49 g, 4.8 mmol, 1.2 equiv.) dropwise at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched by water and extracted with CH_2Cl_2 (10 mL × 3) three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (CH_2Cl_2/CH_3OH = 100/1 to 10/1) to afford the product **L*4** as a white solid (1.98 g, 96% yield).

***N*-((*S*)-(6-Methoxyquinolin-4-yl)((1*S*,2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methyl)quinoline-8-sulfonamide (L*4)**



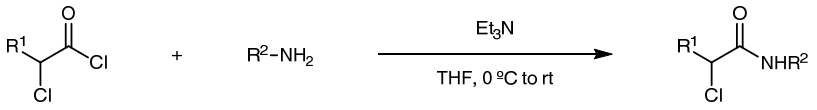
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.11 – 9.03 (m, 1H), 8.64 – 8.48 (m, 1H), 8.22 – 8.20 (m, 1H),

8.13 – 8.11 (m, 1H), 8.00 – 7.92 (m, 2H), 7.70 – 7.02 (m, 6H), 5.70 – 5.49 (m, 1H), 4.91 – 4.80 (m, 3H), 3.89 (s, 3H), 3.38 – 2.88 (m, 2H), 2.67 – 2.53 (m, 1H), 2.22 – 2.04 (m, 2H), 1.87 – 1.80 (m, 1H), 1.55 – 1.42 (m, 1H), 1.30 – 1.08 (m, 3H), 0.67 – 0.59 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 157.6, 156.7, 150.8, 147.4, 146.6, 145.0, 144.2, 143.2, 141.2, 136.5, 136.2, 135.9, 135.2, 133.2, 132.9, 131.6, 131.3, 130.8, 128.4, 128.2, 126.9, 124.9, 123.1, 122.0, 121.2, 120.8, 119.6, 114.4, 103.2, 100.9, 63.0, 61.0, 56.4, 55.7, 55.4, 53.0, 39.6, 39.3, 39.0, 27.6, 27.0, 25.9, 25.0.
HRMS (ESI) m/z calcd. for $C_{29}H_{31}N_4O_3S$ $[M + H]^+$ 515.2111, found 515.2112.

Preparation of L*4 (without column chromatography purification)
According to the **General procedure for preparation of L*4** with slightly modification. Under an argon atmosphere, to a solution of quinine (64.8 g, 200.0 mmol, 1.0 equiv.) and triphenylphosphine (PPh_3) (68.1 g, 260.0 mmol, 1.3 equiv.) in THF (600 mL) was added diisopropyl azodicarboxylate (DIAD) (52.5 g, 160.0 mmol, 1.3 equiv.) at once and stirred for 15 min at 0 °C. Then the reaction mixture was added diphenyl phosphoryl azide (DPPA) (63.2 g, 260.0 mmol, 1.3 equiv.) dropwise over 15 min at 0 °C. The reaction was allowed to warm to room temperature and stirred for 20 h. Next the reaction was heated to 50 °C for 4 h. Another portion of PPh_3 (73.4 g, 280.0 mmol, 1.4 equiv.) was then added and the reaction stirred at 50 °C for an additional 4 h. After cooling the solution to room temperature, H_2O (80 mL) was added and the solution stirred overnight at room temperature. The mixture was concentrated under reduced pressure, dissolved in CH_2Cl_2 (200 mL) and diluted with HCl aqueous solution (3.0 M, 200 mL) The aqueous layer was washed with CH_2Cl_2 (200 mL × 3), alkalinized with ammonium hydroxide and washed with CH_2Cl_2 (200 mL × 3). The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude quinine-derived chiral amine, which was used in the next step without further purification.
Under an argon atmosphere, to a solution of the above quinine-derived chiral amine and quinoline-8-sulfonyl chloride (45.4 g, 200.0 mmol, 1.0 equiv.) in CH_2Cl_2 (500 mL) was added Et_3N (24.3 g, 240.0 mmol, 1.2 equiv.) dropwise at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was diluted with HCl aqueous solution (3.0 M, 300 mL) The aqueous layer was washed with CH_2Cl_2 (200 mL × 3), alkalinized with ammonium hydroxide and washed with CH_2Cl_2 (200 mL × 3). The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford **L*4** as a white solid (84.3 g, 82% yield), which can be directly used in the coupling reactions without purification.

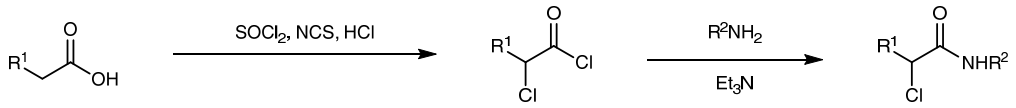
Test L*4 (without column chromatography purification)
According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and **L*4** (without column chromatography purification) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (48.4 mg, 95% yield, 92% e.e.).

5. Synthesis of α-carbonyl alkyl chloride substrates



General procedure 1:

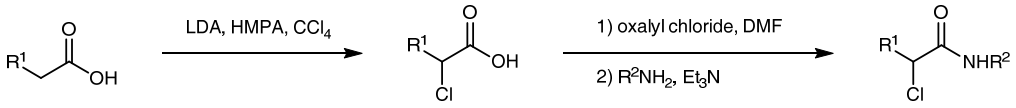
According to the literature reported procedure[3] with slightly modification. To a solution of Et_3N (1.21 g, 12.0 mmol, 1.2 equiv.) and amine (10.0 mmol, 1.0 equiv.) in THF (20 mL) was added α-chloro acid chloride (12.0 mmol, 1.2 equiv.) dropwise at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 20 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.



General procedure 2:

According to the literature reported procedure[4] with slightly modification. The carboxylic acid (25 mmol) was dissolved in $SOCl_2$ (7.25 mL, 100 mmol), and the resulting solution was heated at reflux for 30 min. The mixture was allowed to cool to room temperature, and then *N*-chlorosuccinimide (8.34 g, 63 mmol), $SOCl_2$ (5 mL), and HCl (concentrated, 4 drops) were added. The resulting mixture was heated at 90 °C for 2.5 h. The mixture was then allowed to cool to room temperature, the precipitate was filtered off and washed by CCl_4, and the solvent was removed by evaporation. The resulting liquid residue was used in the next step without further purification.

To a solution of amine (25.0 mmol, 1.0 equiv.) and Et_3N (3.03 g, 30.0 mmol, 1.2 equiv.) in CH_2Cl_2 (50 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 50 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.



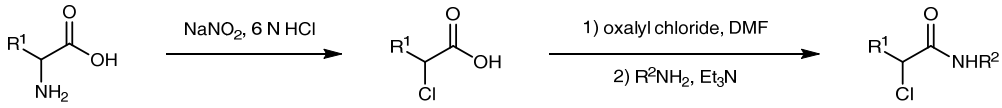
General procedure 3:

According to the literature reported procedure.[3] To a solution of carboxylic acid (10.0 mmol, 1.0 equiv.) in anhydrous THF (20 mL) was added hexamethylphosphoramide (HMPA) (3 mL) and lithium diisopropylamide (LDA) (22.0 mmol, 2.2 equiv., 1.0 M in THF) via syringe at −78 °C under argon. The reaction was slowly warmed up to 0 °C and stirred for another 1 h. Then the reaction mixture was cooled down to −78 °C again and treated with a solution of CCl_4 (6.08 g, 40.0 mmol, 4.0 equiv.) in THF (3 mL). After being stirred at −78 °C for 2 h, the reaction mixture

was warmed up to room temperature over 1 h and stirred overnight. Then, the reaction was quenched with brine, acidified with 1.0 M aqueous HCl solution, and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated to afford the crude α-chloro acid, which was used in the next step without further purification.

To a solution of the above α-chloro acid in CH_2Cl_2 (20 mL) was added oxalyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and a drop of DMF at 0 °C. The reaction mixture was stirred at 40 °C for 3 h. Then the solvent was removed under reduced pressure to afford the α-chloro acid chloride, which was used in the next step without further purification.

To a solution of amine (10.0 mmol, 1.0 equiv.) and Et_3N (1.21 g, 12.0 mmol, 1.2 equiv.) in CH_2Cl_2 (20 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 20 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.

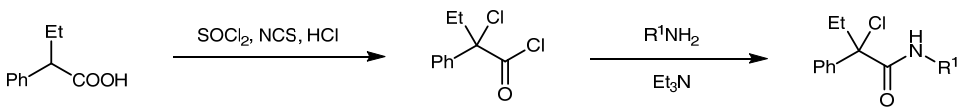


General procedure 4:

According to the literature reported procedure[5] with slightly modification. To a solution of amino acid (20 mmol, 1.0 equiv.) in HCl (6 N, 20 mL) was added sodium nitrite (4.14 g, 60 mmol, 3.0 equiv.) in small portions at 0 °C. Then the reaction mixture was stirred at 0 °C for 6 h. After completion, the reaction was diluted with brine and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated to afford the crude α-chloro acid, which was used in the next step without further purification.

To a solution of the above α-chloro acid in CH_2Cl_2 (50 mL) was added oxalyl chloride (3.02 g, 24.0 mmol, 1.2 equiv.) and a drop of DMF 0 °C. The reaction mixture was stirred at 40 °C for 3 h. Then the solvent was removed under reduced pressure to afford the α-chloro acid chloride, which was used in the next step without further purification.

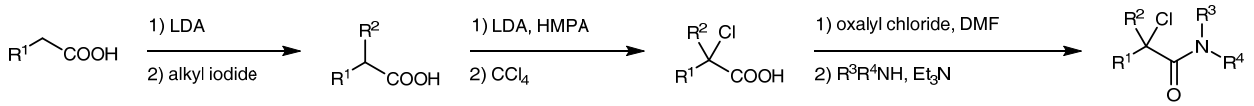
To a solution of amine (20.0 mmol, 1.0 equiv.) and Et_3N (2.43 g, 24.0 mmol, 1.2 equiv.) in CH_2Cl_2 (50 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 50 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.



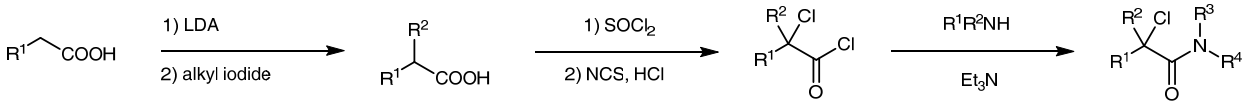
General procedure 5:

According to the literature reported procedure.[4] The carboxylic acid (25 mmol) was dissolved in $SOCl_2$ (7.25 mL, 100 mmol), and the resulting solution was heated at reflux for 30 min. The mixture was allowed to cool to room temperature, and then *N*-chlorosuccinimide (8.34 g, 63 mmol), $SOCl_2$ (5 mL), and HCl (concentrated, 4 drops) were added. The resulting mixture was

heated at 90 °C for 2.5 h. The mixture was then allowed to cool to room temperature, the precipitate was filtered off and washed by CCl_4, and the solvent was removed by evaporation. The resulting liquid residue was used in the next step without further purification.
To a solution of amine (25.0 mmol, 1.0 equiv.) and Et_3N (3.03 g, 30.0 mmol, 1.2 equiv.) in CH_2Cl_2 (50 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 50 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.



General procedure 6:
According to the literature reported procedure[6] with slightly modification. To a solution of carboxylic acid (20.0 mmol, 1.0 equiv.) in anhydrous THF (40 mL) was added lithium diisopropylamide (LDA) (44.0 mmol, 2.2 equiv., 1.0 M in THF) via syringe at −78 °C under argon. After being stirred at −78 °C for 30 min, the reaction mixture was warmed up to 0 °C and stirred for another 1 h. The solution was then cooled to −78°C again and alkyl iodide (21.0 mmol, 1.05 equiv.) was added in one portion. The reaction was warmed up to room temperature over 1 h and stirred overnight. The resulting solution was quenched with brine, acidified with 1.0 M aqueous HCl solution, and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated to afford the crude acid, which was used directly in the next step without further purification.
To a solution of the above acid in THF (40 mL) was added hexamethylphosphoramide (HMPA, 6 mL) and lithium diisopropylamide (LDA) (44.0 mmol, 2.2 equiv., 1.0 M in THF) via syringe at −78°C under argon. The reaction was slowly warmed up to 0 °C and stirred for another 1 h. Then the reaction mixture was cooled down to −78 °C again and treated with a solution of CCl_4 (80.0 mmol, 4.0 equiv.) in THF (3 mL). After being stirred at −78 °C for 2 h, the reaction mixture was warmed up to room temperature over 1 h and stirred overnight. Then, the reaction was quenched with brine, acidified with 1.0 M aqueous HCl solution, and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated to afford the crude α-chloro acid, which was used directly in the next step without further purification.
To a solution of the above α-chloro acid in CH_2Cl_2 (50 mL) was added oxalyl chloride (24.0 mmol, 1.2 equiv.) and a drop of DMF at 0 °C. The reaction mixture was stirred at 40 °C for 3 h. Then, the solvent was removed under reduced pressure to afford the α-chloro acid chloride, which was used directly in the next step without further purification.
To a solution of amine (20.0 mmol, 1.0 equiv.) and Et_3N (2.43 g, 24.0 mmol, 1.2 equiv.) in CH_2Cl_2 (50 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 50 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.



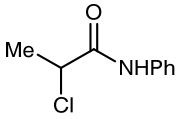
General procedure 7:

According to the literature reported procedure[4,6] with slightly modification. To a solution of carboxylic acid (20.0 mmol, 1.0 equiv.) in anhydrous THF (40 mL) was added lithium diisopropylamide (LDA) (44.0 mmol, 2.2 equiv., 1.0 M in THF) via syringe at −78 °C under argon. After being stirred at −78 °C for 30 min, the reaction mixture was warmed up to 0 °C and stirred for another 1 h. The solution was then cooled to −78°C again and alkyl iodide (21.0 mmol, 1.05 equiv.) was added in one portion. The reaction was warmed up to room temperature over 1 h and stirred overnight. The resulting solution was quenched with brine, acidified with 1.0 M aqueous HCl solution, and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated to afford the crude acid, which was used directly in the next step without further purification.

The above acid was dissolved in $SOCl_2$ (5.8 mL, 80 mmol), and the resulting solution was heated at reflux for 30 min. The mixture was allowed to cool to room temperature, and then *N*-chlorosuccinimide (6.65 g, 50 mmol), $SOCl_2$ (4.0 mL), and HCl (concentrated, 4 drops) were added. The resulting mixture was heated at 90 °C for 2.5 h. The mixture was then allowed to cool to room temperature, the precipitate was filtered off and washed by CCl_4, and the solvent was removed by evaporation. The resulting liquid residue was used in the next step without further purification.

To a solution of amine (20.0 mmol, 1.0 equiv.) and Et_3N (2.43 g, 24.0 mmol, 1.2 equiv.) in CH_2Cl_2 (50 mL) was added the above α-chloro acid chloride at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 30 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel to afford the desired product.

2-Chloro-*N*-phenylpropanamide (E1)



E1

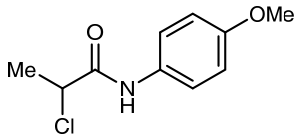
According to **General procedure 1** with 2-chloropropionyl chloride (15.12 g, 120.0 mmol, 1.2 equiv.) and aniline (9.31 g, 100.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E1** as a white solid (16.01 g, 87% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.55 – 7.53 (m, 2H), 7.37 – 7.33 (m, 2H), 7.17 – 7.14 (m, 1H), 4.54 (q, *J* = 7.0 Hz, 1H), 1.82 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 136.9, 129.0, 125.0, 120.0, 56.1, 22.6.

HRMS (ESI) m/z calcd. for $C_9H_{11}ClNO$ $[M + H]^+$ 184.0524, found 184.0523.

2-Chloro-*N*-(4-methoxyphenyl)propanamide (E2)



E2

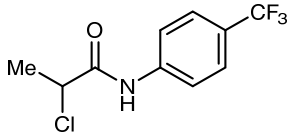
According to **General procedure 1** with 2-chloropropionyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and 4-methoxyaniline (1.23 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E2** as a white solid (2.09 g, 98% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.45 – 7.41 (m, 2H), 6.89 – 6.85 (m, 2H), 4.54 (q, *J* = 7.0 Hz, 1H), 3.79 (s, 3H), 1.95 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 156.9, 130.1, 121.9, 114.2, 55.5, 45.4, 23.0.

HRMS (ESI) m/z calcd. for $C_{10}H_{13}ClNO_2$ $[M + H]^+$ 214.0629, found 214.0627.

2-Chloro-*N*-(4-(trifluoromethyl)phenyl)propanamide (E3)



E3

According to **General procedure 1** with 2-chloropropionyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and 4-(trifluoromethyl)aniline (1.61 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E3** as a white solid (2.50 g, 100% yield).

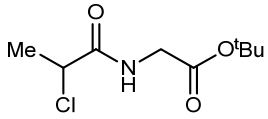
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.70 – 7.68 (m, 2H), 7.61 – 7.59 (m, 2H), 4.56 (q, *J* = 7.1 Hz, 1H), 1.83 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.8, 140.0, 126.8 (q, *J* = 32.7 Hz), 126.3 (q, *J* = 3.8 Hz), 123.9 (q, *J* = 270.0 Hz), 119.7, 56.9, 22.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.24 (s, 3F).

HRMS (ESI) m/z calcd. for $C_{10}H_{10}ClF_3NO$ $[M + H]^+$ 252.0398, found 252.0395.

***tert*-Butyl (2-chloropropanoyl)glycinate (E4)**



E4

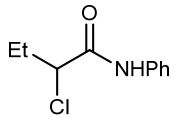
According to **General procedure 1** with 2-chloropropionyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and *tert*-butyl glycinate (1.31 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E4** as a white solid (1.23 g, 56% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.11 (s, 1H), 4.46 (q, *J* = 7.0 Hz, 1H), 3.96 (d, *J* = 5.1 Hz, 2H), 1.75 (d, *J* = 7.0 Hz, 3H), 1.49 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 168.4, 82.7, 55.4, 42.3, 28.0, 22.5.

HRMS (ESI) m/z calcd. for $C_9H_{16}ClNNaO_3$ $[M + Na]^+$ 244.0711, found 244.0708.

2-Chloro-*N*-phenylbutanamide (E7)



E7

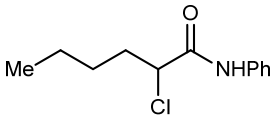
According to **General procedure 1** with 2-chlorobutanoyl chloride (5.00 g, 35.7 mmol, 1.2 equiv.) and aniline (2.77 g, 29.8 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E7** as a white solid (5.75 g, 98% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.56 – 7.53 (m, 2H), 7.38 – 7.33 (m, 2H), 7.18 – 7.14 (m, 1H), 4.45 (dd, *J* = 7.7, 4.3 Hz, 1H), 2.28 – 2.18 (m, 1H), 2.15 – 2.04 (m, 1H), 1.11 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.8, 136.9, 129.1, 125.0, 120.0, 63.0, 29.0, 10.3.

HRMS (ESI) m/z calcd. for $C_{10}H_{13}ClNO$ $[M + H]^+$ 198.0680, found 198.0679.

2-Chloro-*N*-phenylhexanamide (E8)



E8

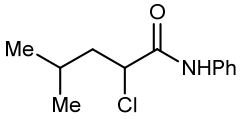
According to **General procedure 2** with hexanoic acid (1.16 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E8** as a yellowish oil (1.36 g, 60% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.56 – 7.53 (m, 2H), 7.37 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 4.46 (dd, *J* = 8.3, 4.4 Hz, 1H), 2.23 – 2.15 (m, 1H), 2.06 – 1.97 (m, 1H), 1.57 – 1.45 (m, 2H), 1.44 – 1.32 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 136.9, 129.0, 125.0, 120.0, 61.6, 35.4, 28.0, 22.0, 13.8.

HRMS (ESI) m/z calcd. for $C_{12}H_{17}ClNO$ $[M + H]^+$ 226.0993, found 226.0990.

2-Chloro-4-methyl-*N*-phenylpentanamide (E9)



E9

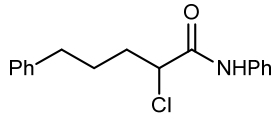
According to **General procedure 2** with 4-methylpentanoic acid (2.32 g, 20.0 mmol, 1.0 equiv.) and aniline (1.86 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E9** as a white solid (3.51 g, 78% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.56 – 7.53 (m, 2H), 7.37 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 4.47 (dd, *J* = 10.1, 4.1 Hz, 1H), 2.07 – 1.85 (m, 3H), 1.01 – 0.96 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4, 137.0, 129.1, 125.0, 120.0, 60.1, 44.4, 25.3, 22.9, 20.8.

HRMS (ESI) m/z calcd. for $C_{12}H_{17}ClNO$ $[M + H]^+$ 226.0993, found 226.0990.

2-Chloro-*N*,5-diphenylpentanamide (E10)



E10

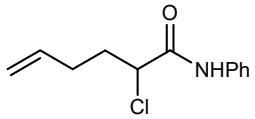
According to **General procedure 3** with 5-phenylpentanoic acid (1.78 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E10** as a white solid (1.21 g, 42% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.54 – 7.51 (m, 2H), 7.35 – 7.30 (m, 2H), 7.29 – 7.25 (m, 2H), 7.20 – 7.12 (m, 4H), 4.46 (dd, *J* = 8.3, 4.4 Hz, 1H), 2.72 – 2.60 (m, 2H), 2.27 – 2.18 (m, 1H), 2.10 – 2.01 (m, 1H), 1.94 – 1.77 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.8, 141.4, 136.8, 129.0, 128.4, 128.3, 126.0, 125.0, 120.0, 61.3, 35.1, 35.0, 27.7.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}ClNO$ $[M + H]^+$ 288.1150, found 288.1146.

2-Chloro-*N*-phenylhex-5-enamide (E11)



E11

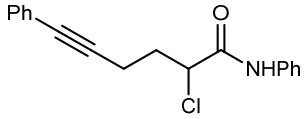
According to **General procedure 3** with hex-5-enoic acid (1.14 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E11** as a white solid (0.98 g, 44% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.56 – 7.53 (m, 2H), 7.38 – 7.33 (m, 2H), 7.18 – 7.14 (m, 1H), 5.85 – 5.75 (m, 1H), 5.14 – 5.04 (m, 2H), 4.48 (dd, *J* = 8.8, 3.3 Hz, 1H), 2.37 – 2.27 (m, 3H), 2.16 – 2.05 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.8, 136.9, 136.2, 129.1, 125.1, 120.0, 116.4, 60.8, 34.6, 30.0.

HRMS (ESI) m/z calcd. for $C_{12}H_{15}ClNO$ $[M + H]^+$ 224.0837, found 224.0834.

2-Chloro-*N*,6-diphenylhex-5-ynamide (E12)



E12

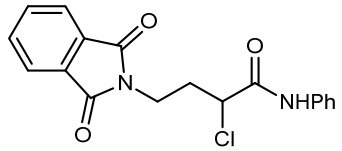
According to **General procedure 3** with 6-phenylhex-5-ynoic acid (0.86 g, 4.6 mmol, 1.0 equiv.) and aniline (0.43 g, 4.6 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E12** as a white solid (0.86 g, 63% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.56 – 7.53 (m, 2H), 7.39 – 7.32 (m, 4H), 7.29 – 7.25 (m, 3H), 7.18 – 7.14 (m, 1H), 4.70 (dd, *J* = 9.1, 4.1 Hz, 1H), 2.73 – 2.69 (m, 2H), 2.62 – 2.53 (m, 1H), 2.30 – 2.21 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 136.8, 131.6, 129.1, 128.2, 127.9, 125.1, 123.3, 120.0,

87.2, 82.1, 60.0, 34.3, 16.6.
HRMS (ESI) m/z calcd. for $C_{18}H_{17}ClNO$ $[M + H]^+$ 298.0993, found 298.0990.

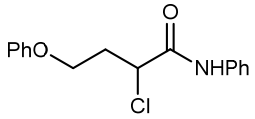
2-Chloro-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide (E13)



E13

According to **General procedure 2** with 4-(1,3-dioxoisoindolin-2-yl)butanoic acid (2.33 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **E13** as a yellowish solid (2.31 g, 68% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.82 – 7.78 (m, 2H), 7.71 – 7.66 (m, 2H), 7.53 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.14 – 7.10 (m, 1H), 4.52 (dd, *J* = 7.3, 5.2 Hz, 1H), 4.01 – 3.89 (m, 2H), 2.66 – 2.58 (m, 1H), 2.51 – 2.42 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 165.8, 136.8, 134.1, 131.9, 129.0, 125.0, 123.3, 119.9, 58.3, 34.8, 34.2.
HRMS (ESI) m/z calcd. for $C_{18}H_{16}ClN_2O_3$ $[M + H]^+$ 343.0844, found 343.0838.

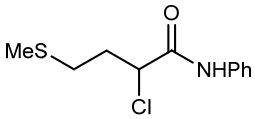
2-Chloro-4-phenoxy-*N*-phenylbutanamide (E14)



E14

According to **General procedure 3** with 4-phenoxybutanoic acid (1.80 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E14** as a white solid (1.13 g, 39% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.55 – 7.52 (m, 2H), 7.36 – 7.31 (m, 2H), 7.29 – 7.23 (m, 2H), 7.17 – 7.13 (m, 1H), 6.96 – 6.93 (m, 1H), 6.89 – 6.86 (m, 2H), 4.77 (dd, *J* = 8.6, 4.5 Hz, 1H), 4.20 – 4.17 (m, 2H), 2.78 – 2.70 (m, 1H), 2.45 – 2.37 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 158.4, 136.9, 129.5, 129.1, 125.1, 121.0, 120.1, 114.5, 63.5, 57.7, 34.9.
HRMS (ESI) m/z calcd. for $C_{16}H_{17}ClNO_2$ $[M + H]^+$ 290.0942, found 290.0939.

2-Chloro-4-(methylthio)-*N*-phenylbutanamide (E15)

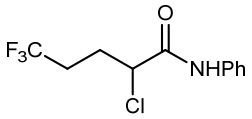


E15

According to **General procedure 4** with DL-methionine (1.49 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E15** as a white

solid (0.83 g, 34% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.56 – 7.53 (m, 2H), 7.38 – 7.33 (m, 2H), 7.19 – 7.15 (m, 1H), 4.69 (dd, *J* = 8.8, 4.0 Hz, 1H), 2.79 – 2.66 (m, 2H), 2.57 – 2.48 (m, 1H), 2.31 – 2.22 (m, 1H), 2.12 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 136.8, 129.1, 125.1, 120.0, 59.6, 34.4, 30.4, 15.2.
HRMS (ESI) m/z calcd. for $C_{11}H_{15}ClNOS$ $[M + H]^+$ 224.0557, found 244.0555.

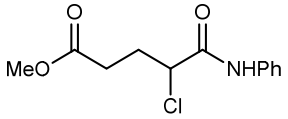
2-Chloro-5,5,5-trifluoro-*N*-phenylpentanamide (E16)



E16

According to **General procedure 2** with 5,5,5-trifluoropentanoic acid (1.00 g, 6.41 mmol, 1.0 equiv.) and aniline (1.86 g, 6.41 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E16** as a yellowish solid (0.82 g, 48% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.54 – 7.51 (m, 2H), 7.38 – 7.33 (m, 2H), 7.20 – 7.15 (m, 1H), 4.54 – 4.47 (m, 1H), 2.54 – 2.25 (m, 4H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.6, 136.5, 129.1, 126.5 (q, *J* = 274.5 Hz), 125.4, 120.2, 59.0, 30.5 (q, *J* = 29.4 Hz), 28.1 (q, *J* = 3.3 Hz).
^{19}F NMR (376 MHz, $CDCl_3$) δ -66.09 (s, 3F).
HRMS (ESI) m/z calcd. for $C_{11}H_{12}ClF_3NO$ $[M + H]^+$ 266.0554, found 266.0550.

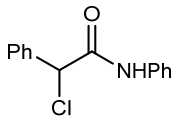
Methyl 4-chloro-5-oxo-5-(phenylamino)pentanoate (E17)



E17

According to **General procedure 2** with 5-methoxy-5-oxopentanoic acid (1.46 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E17** as a yellowish solid (1.21 g, 47% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.56 – 7.52 (m, 2H), 7.37 – 7.32 (m, 2H), 7.18 – 7.14 (m, 1H), 4.60 – 4.57 (m, 1H), 3.69 (s, 3H), 2.61 – 2.50 (m, 3H), 2.41 – 2.29 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 166.1, 136.8, 129.1, 125.1, 120.1, 59.9, 51.8, 30.6, 30.3.
HRMS (ESI) m/z calcd. for $C_{12}H_{15}ClNO_3$ $[M + H]^+$ 256.0735, found 256.0733.

2-Chloro-*N*,2-diphenylacetamide (E18)

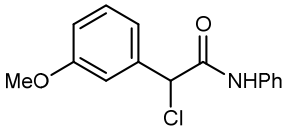


E18

According to **General procedure 1** with 2-chloro-2-phenylacetyl chloride (1.88 g, 10.0 mmol, 1.0 equiv.) and aniline (1.12 g, 12.0 mmol, 1.2 equiv.), the reaction mixture was purified by

column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E18** as a white solid (2.02 g, 82% yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.56 – 7.54 (m, 2H), 7.50 – 7.47 (m, 2H), 7.41 – 7.31 (m, 5H), 7.18 – 7.13 (m, 1H), 5.49 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.3, 136.8, 136.6, 129.3, 129.1, 129.0, 127.8, 125.2, 120.0, 62.0.
HRMS (ESI) m/z calcd. for $C_{14}H_{13}ClNO$ $[M + H]^+$ 246.0680, found 246.0681.

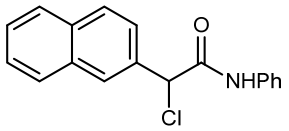
2-Chloro-2-(3-methoxyphenyl)-*N*-phenylacetamide (E19)



E19

According to **General procedure 3** with 2-(3-methoxyphenyl)acetic acid (1.66 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E19** as a white solid (0.80 g, 29% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.56 – 7.54 (m, 2H), 7.37 – 7.29 (m, 3H), 7.18 – 7.14 (m, 1H), 7.10 – 7.07 (m, 1H), 7.04 – 7.03 (m, 1H), 6.92 – 6.89 (m, 1H), 5.46 (s, 1H), 3.81 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.2, 159.9, 138.0, 136.8, 130.1, 129.1, 125.2, 120.00, 119.98, 114.8, 113.5, 62.0, 55.3.
HRMS (ESI) m/z calcd. for $C_{15}H_{15}ClNO_2$ $[M + H]^+$ 276.0786, found 276.0787.

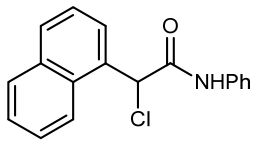
2-Chloro-2-(naphthalen-2-yl)-*N*-phenylacetamide (E20)



E20

According to **General procedure 3** with 2-(naphthalen-2-yl)acetic acid (0.93 g, 5.0 mmol, 1.0 equiv.) and aniline (0.47 g, 5.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E20** as a white solid (0.35 g, 24% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.96 (s, 1H), 7.88 – 7.82 (m, 3H), 7.59 – 7.56 (m, 3H), 7.54 – 7.49 (m, 2H), 7.37 – 7.33 (m, 2H), 7.19 – 7.14 (m, 1H), 5.67 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.2, 136.8, 133.8, 133.4, 133.0, 129.2, 129.1, 128.2, 127.7, 127.6, 127.0, 126.7, 125.2, 124.6, 120.0, 62.4.
HRMS (ESI) m/z calcd. for $C_{18}H_{15}ClNO$ $[M + H]^+$ 296.0837, found 296.0838.

2-Chloro-2-(naphthalen-1-yl)-*N*-phenylacetamide (E21)



E21

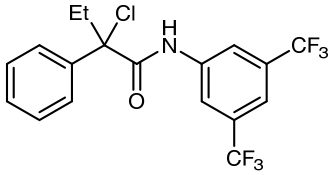
According to **General procedure 3** with 2-(naphthalen-1-yl)acetic acid (0.93 g, 5.0 mmol, 1.0 equiv.) and aniline (0.47 g, 5.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E21** as a white solid (0.25 g, 17% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (s, 1H), 8.14 – 8.12 (m, 1H), 7.92 – 7.89 (m, 2H), 7.68 – 7.66 (m, 1H), 7.62 – 7.52 (m, 4H), 7.49 – 7.45 (m, 1H), 7.38 – 7.34 (m, 2H), 7.20 – 7.16 (m, 1H), 6.22 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.5, 136.9, 134.1, 132.5, 130.7, 130.5, 129.14, 129.11, 127.2, 126.6, 126.3, 125.3, 125.2, 123.1, 120.0, 59.8.

HRMS (ESI) m/z calcd. for $C_{18}H_{15}ClNO$ $[M + H]^+$ 296.0837, found 296.0838.

***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-chloro-2-phenylbutanamide (E22)**



E22

According to **General procedure 5** with 2-phenylbutanoic acid (4.92 g, 30.0 mmol, 1.0 equiv.) and 3,5-bis(trifluoromethyl)aniline (6.87 g, 30.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E22** as a white solid (8.22 g, 67% overall yield).

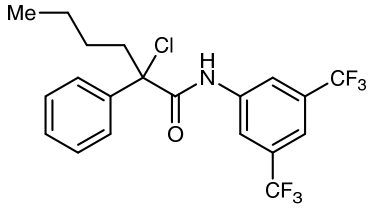
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H), 8.05 (s, 2H), 7.62 – 7.58 (m, 3H), 7.41 – 7.32 (m, 3H), 2.68 – 2.59 (m, 1H), 2.48 – 2.39 (m, 1H), 1.05 (t, J = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 139.3, 138.6, 132.4 (q, J = 33.4 Hz), 128.78, 128.75, 126.2, 122.9 (q, J = 271.3 Hz), 119.58 – 119.55 (m), 118.2 –118.0 (m), 79.1, 34.9, 9.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.02 (s, 6F).

HRMS (ESI) m/z calcd. for $C_{18}H_{15}ClF_6NO$ $[M + H]^+$ 410.0741, found 410.0738.

***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-chloro-2-phenylhexanamide (E23)**

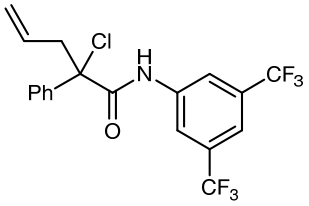


E23

According to **General procedure 6** with 2-phenylacetic acid (1.36 g, 10.0 mmol, 1.0 equiv.), 1-iodobutane (1.93 g, 10.5 mmol, 1.05 equiv.), and 3,5-bis(trifluoromethyl)aniline (2.29 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E23** as a white solid (2.63 g, 60% overall

yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 8.05 (s, 2H), 7.63 – 7.58 (m, 3H), 7.42 – 7.33 (m, 3H), 2.62 – 2.55 (m, 1H), 2.42 – 2.35 (m, 1H), 1.50 – 1.31 (m, 4H), 0.91 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 139.6, 138.6, 132.5 (q, *J* = 33.5 Hz), 128.8, 126.1, 123.0 (q, *J* = 271.2 Hz), 119.6 – 119.5 (m), 118.2 – 118.1 (m), 78.4, 41.5, 27.0, 22.5, 13.8.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.04 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{20}H_{17}ClF_6NO$ $[M - H]^-$ 436.0908, found 436.0904.

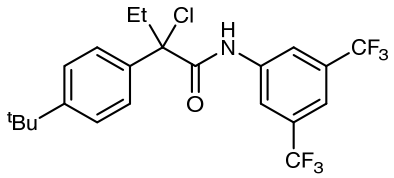
***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-chloro-2-phenylpent-4-enamide (E24)**



E24

According to **General procedure 6** with 2-phenylacetic acid (1.36 g, 10.0 mmol, 1.0 equiv.), 3-bromoprop-1-ene (1.26 g, 10.5 mmol, 1.05 equiv.) and 3,5-bis(trifluoromethyl)aniline (2.29 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E24** as a white solid (1.31 g, 31% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (s, 1H), 8.05 (s, 2H), 7.64 (s, 1H), 7.61 – 7.58 (m, 2H), 7.44 – 7.35 (m, 3H), 5.84 – 5.74 (m, 1H), 5.24 – 5.17 (m, 2H), 3.39 – 3.33 (m, 1H), 3.18 – 3.12 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 138.9, 138.5, 132.5 (q, *J* = 33.4 Hz), 131.5, 128.9, 128.8, 126.2, 122.9 (q, *J* = 271.3 Hz), 120.6, 119.61 – 119.56 (m), 118.3 – 118.2 (m), 76.8, 45.9.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.00 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{19}H_{13}ClF_6NO$ $[M - H]^-$ 420.0595, found 420.0594.

***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-(4-(*tert*-butyl)phenyl)-2-chlorobutanamide (E25)**

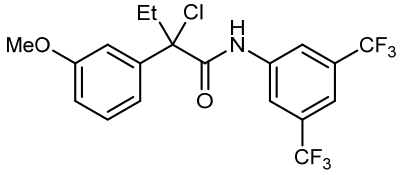


E25

According to **General procedure 6** with 2-(4-(*tert*-butyl)phenyl)acetic acid (1.92 g, 10.0 mmol, 1.0 equiv.), iodoethane (1.64 g, 10.5 mmol, 1.05 equiv.), and 3,5-bis(trifluoromethyl)aniline (2.29 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E25** as a white solid (2.51 g, 54% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 8.07 (s, 2H), 7.63 (s, 1H), 7.52 – 7.49 (m, 2H), 7.42 – 7.39 (m, 2H), 2.65 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.42 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.31 (s, 9H), 1.07 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 151.9, 138.7, 136.4, 132.4 (q, *J* = 33.4 Hz), 125.9, 125.7, 123.0 (q, *J* = 271.3 Hz), 119.6 – 119.5 (m), 118.2 – 118.0 (m), 79.2, 34.8, 34.6, 31.2, 9.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.99 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{22}H_{21}ClF_6NO$ $[M - H]^-$ 464.1221, found 464.1216.

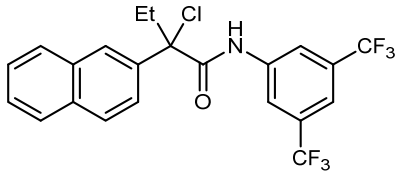
***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-chloro-2-(3-methoxyphenyl)butanamide (E26)**



E26

According to **General procedure 6** with 2-(3-methoxyphenyl)acetic acid (1.66 g, 10.0 mmol, 1.0 equiv.), iodoethane (1.64 g, 10.5 mmol, 1.05 equiv.), and 3,5-bis(trifluoromethyl)aniline (2.29 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E26** as a white solid (1.02 g, 23% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (s, 1H), 8.05 (s, 2H), 7.63 (s, 1H), 7.34 – 7.30 (m, 1H), 7.17 – 7.14 (m, 2H), 6.91 – 6.88 (m, 1H), 3.83 (s, 3H), 2.62 (dq, *J* = 13.9, 7.1 Hz, 1H), 2.43 (dq, *J* = 14.0, 7.1 Hz, 1H), 1.04 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 159.8, 140.7, 138.6, 132.4 (q, *J* = 33.4 Hz), 129.9, 123.0 (q, *J* = 271.2 Hz), 119.53 – 119.49 (m), 118.4, 118.2 – 118.0 (m), 113.6, 112.8, 78.8, 55.4, 34.8, 9.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.00 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{19}H_{15}ClF_6NO_2$ $[M - H]^-$ 438.0701, found 438.0698.

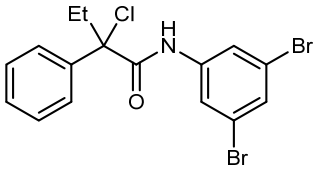
***N*-(3,5-Bis(trifluoromethyl)phenyl)-2-chloro-2-(naphthalen-2-yl)butanamide (E27)**



E27

According to **General procedure 6** with 2-(naphthalen-2-yl)acetic acid (1.86 g, 10.0 mmol, 1.0 equiv.), iodoethane (1.64 g, 10.5 mmol, 1.05 equiv.), and 3,5-bis(trifluoromethyl)aniline (2.29 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E27** as a white solid (1.67 g, 36% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H), 8.10 (d, *J* = 1.5 Hz, 1H), 8.05 (s, 2H), 7.90 – 7.81 (m, 3H), 7.63 – 7.60 (m, 2H), 7.55 – 7.51 (m, 2H), 2.73 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.56 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.08 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 138.6, 136.3, 133.0, 132.8, 132.4 (q, *J* = 33.4 Hz), 128.8, 128.5, 127.5, 127.1, 126.8, 125.7, 123.6, 122.9 (q, *J* = 271.1 Hz), 119.6 – 119.5 (m), 118.2 – 118.1 (m), 79.2, 34.7, 9.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.99 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{22}H_{15}ClF_6NO$ $[M - H]^-$ 458.0752, found 458.0750.

2-Chloro-*N*-(3,5-dibromophenyl)-2-phenylbutanamide (E28)



E28

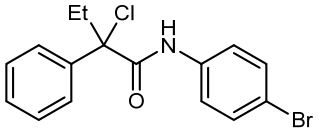
According to **General procedure 5** with 2-phenylbutanoic acid (1.36 g, 10.0 mmol, 1.0 equiv.) and 3,5-dibromoaniline (2.49 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E28** as a white solid (3.12 g, 73% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.68 (s, 2H), 7.56 – 7.54 (m, 2H), 7.39 – 7.31 (m, 4H), 2.60 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.39 (dq, *J* = 14.3, 7.1 Hz, 1H), 1.03 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 139.5, 139.1, 130.2, 128.6, 126.1, 123.0, 121.3, 79.1, 34.8, 9.3.

HRMS (ESI) m/z calcd. for $C_{16}H_{13}Br_2ClNO$ $[M - H]^-$ 427.9058, found 427.9053.

N-(4-Bromophenyl)-2-chloro-2-phenylbutanamide (E29)



E29

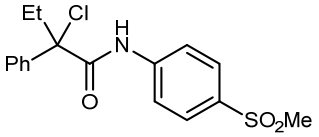
According to **General procedure 5** with 2-phenylbutanoic acid (1.36 g, 10.0 mmol, 1.0 equiv.) and 4-bromoaniline (1.71 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E29** as a white solid (2.39 g, 68% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.59 – 7.56 (m, 2H), 7.45 – 7.40 (m, 4H), 7.39 – 7.30 (m, 3H), 2.63 (dq, *J* = 14.2, 7.1 Hz, 1H), 2.40 (dq, *J* = 14.4, 7.2 Hz, 1H), 1.05 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 140.0, 136.2, 131.9, 128.6, 128.5, 126.2, 121.4, 117.5, 79.3, 34.9, 9.4.

HRMS (ESI) m/z calcd. for $C_{16}H_{16}BrClNO$ $[M + H]^+$ 352.0098, found 352.0104.

2-Chloro-*N*-(4-(methylsulfonyl)phenyl)-2-phenylbutanamide (E30)



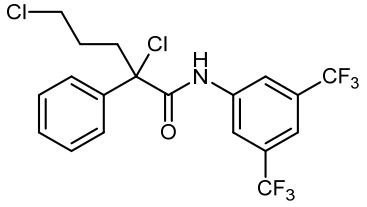
E30

According to **General procedure 5** with 2-phenylbutanoic acid (3.28 g, 20.0 mmol, 1.0 equiv.) and 4-(methylsulfonyl)aniline (3.42 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **E30** as a white solid (3.90 g, 56% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H), 7.90 – 7.87 (m, 2H), 7.77 – 7.73 (m, 2H), 7.60 – 7.57 (m, 2H), 7.42 – 7.32 (m, 3H), 3.02 (s, 3H), 2.63 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.43 (dq, *J* = 14.4, 7.2 Hz, 1H), 1.05 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 142.0, 139.5, 136.0, 128.7, 126.2, 119.8, 79.1, 44.6, 34.9, 9.3.
HRMS (ESI) m/z calcd. for $C_{17}H_{19}ClNO_3S$ $[M + H]^+$ 352.0769, found 352.0771.

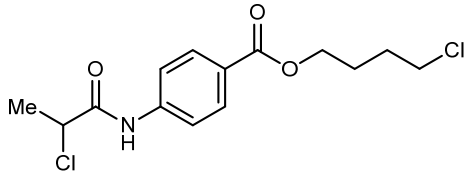
***N*-(3,5-Bis(trifluoromethyl)phenyl)-2,5-dichloro-2-phenylpentanamide (E31)**



E31

According to **General procedure 7** with 2-phenylacetic acid (1.36 g, 10.0 mmol, 1.0 equiv.), 1-bromo-3-chloropropane (1.64 g, 10.5 mmol, 1.05 equiv.), and 3,5-bis(trifluoromethyl)aniline (1.71 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **E31** as a white solid (1.83 g, 40% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 8.04 (s, 2H), 7.64 – 7.60 (m, 3H), 7.45 – 7.36 (m, 3H), 3.62 – 3.52 (m, 2H), 2.73 – 2.66 (m, 1H), 2.63 – 2.55 (m, 1H), 2.05 – 1.84 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 138.7, 138.5, 132.5 (q, J = 33.4 Hz), 129.1, 129.0, 126.0, 122.9 (q, J = 271.2 Hz), 119.6 – 119.5 (m), 118.3 – 118.2 (m), 77.4, 44.3, 39.5, 28.1.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.00 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{19}H_{14}Cl_2F_6NO$ $[M – H]^+$ 456.0362, found 456.0362.

4-Chlorobutyl 4-(2-chloropropanamido)benzoate (E32)



E32

According to the literature reported procedure[7] with slightly modification. To a solution of 4-aminobenzoic acid (4.93 g, 36.0 mmol, 1.2 equiv.) in THF (50 mL) was added 2-chloropropanoyl chloride (3.78 g, 30.0 mmol, 1.0 equiv.) dropwise at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 50 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product (6.53 g, 96%), which was used directly in the next step without further purification.
To a solution of the above 4-(2-chloropropanamido)benzoic acid (2.27 g, 10.0 mmol, 1.0 equiv.), 1,1'-carbonyldiimidazole (CDI) (1.78 g, 11.0 mmol, 1.1 equiv.), and DMAP (0.12 g, 1.0 mmol, 0.1 equiv.) in CH_2Cl_2 (20 mL) was added Et_3N (1.52 g, 15.0 mmol, 1.5 equiv.) dropwise at room temperature. Then the reaction mixture was stirred for 3 h at room temperature. To the resulting solution was added 4-chlorobutan-1-ol (2.16 g, 20.0 mmol, 2.0 equiv.) via syringe at room temperature under argon and stirred overnight. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 20 mL) and extracted with CH_2Cl_2

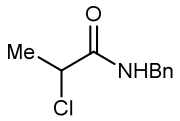
three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to afford the desired product **E32** as a white solid (2.66 g, 84% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (s, 1H), 8.04 – 8.01 (m, 2H), 7.68 – 7.65 (m, 2H), 4.56 (q, *J* = 7.0 Hz, 1H), 4.38 – 4.32 (m, 2H), 3.65 – 3.58 (m, 2H), 1.98 – 1.91 (m, 4H), 1.82 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 165.8, 141.1, 130.7, 126.3, 119.1, 64.1, 55.8, 44.4, 29.2, 26.1, 22.3.

HRMS (ESI) m/z calcd. for $C_{14}H_{18}Cl_2NO_3$ $[M + H]^+$ 318.0658, found 318.0658.

N-Benzyl-2-chloropropanamide (E33)



E33

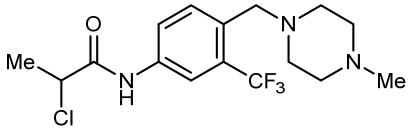
According to **General procedure 1** with 2-chloropropionyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and benzylamine (1.07 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E33** as a white solid (1.97 g, 100% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 – 7.33 (m, 2H), 7.32 – 7.26 (m, 3H), 6.91 (s, 1H), 4.48 – 4.43 (m, 3H), 1.76 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 137.4, 128.8, 127.7, 127.6, 55.9, 43.8, 22.7.

HRMS (ESI) m/z calcd. for $C_{10}H_{13}ClNO$ $[M + H]^+$ 198.0680, found 198.0678.

2-Chloro-*N*-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)propanamide (E34)



E34

According to **General procedure 1** with 2-chloropropanoyl chloride (0.40 g, 3.2 mmol, 1.2 equiv.) and 4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)aniline (Ponatinib fragment) (0.74 g, 2.7 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (CH_2Cl_2/CH_3OH = 20/1) to yield the product **E34** as a colorless liquid (0.43 g, 44% yield).

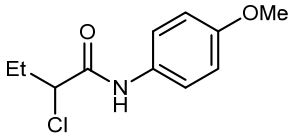
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 7.86 – 7.82 (m, 1H), 7.80 – 7.77 (m, 1H), 7.74 – 7.72 (m, 1H), 4.59 (q, *J* = 7.0 Hz, 1H), 3.61 (s, 2H), 2.78 – 2.37 (m, 8H), 2.33 (s, 3H), 1.80 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9, 135.8, 133.8 (q, *J* = 0.8 Hz), 131.2, 129.0 (q, *J* = 30.4 Hz), 123.8 (q, *J* = 272.6 Hz), 123.1, 117.5 (q, *J* = 6.0 Hz), 57.5 (q, *J* = 1.7 Hz), 55.4, 54.9, 52.6, 45.6, 22.0.

^{19}F NMR (376 MHz, $CDCl_3$) δ -59.45 (s, 3F).

HRMS (ESI) m/z calcd. for $C_{16}H_{22}ClF_3N_3O$ $[M + H]^+$ 364.1398, found 364.1398.

2-Chloro-*N*-(4-methoxyphenyl)butanamide (E35)



E35

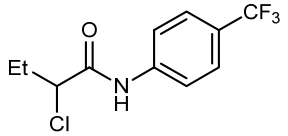
According to **General procedure 1** with 2-chlorobutanoyl chloride (1.68 g, 12.0 mmol, 1.2 equiv.) and 4-methoxyaniline (1.23 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E35** as a white solid (2.27 g, 100% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (s, 1H), 7.46 – 7.42 (m, 2H), 6.89 – 6.85 (m, 2H), 4.43 (dd, *J* = 7.7, 4.3 Hz, 1H), 3.79 (s, 3H), 2.27 – 2.17 (m, 1H), 2.13 – 2.02 (m, 1H), 1.10 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.7, 156.9, 129.9, 122.0, 114.2, 62.9, 55.4, 29.0, 10.3.

HRMS (ESI) m/z calcd. for $C_{11}H_{15}ClNO_2$ $[M + H]^+$ 228.0786, found 228.0788.

2-Chloro-*N*-(4-(trifluoromethyl)phenyl)butanamide (E36)



E36

According to **General procedure 1** with 2-chlorobutanoyl chloride (1.68 g, 12.0 mmol, 1.2 equiv.) and 4-(trifluoromethyl)aniline (1.61 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E36** as a white solid (2.61 g, 98% yield).

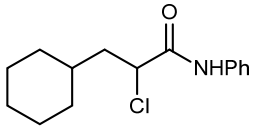
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.70 – 7.68 (m, 2H), 7.62 – 7.59 (m, 2H), 4.46 (dd, *J* = 7.8, 4.4 Hz, 1H), 2.29 – 2.18 (m, 1H), 2.15 – 2.04 (m, 1H), 1.11 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 139.9, 126.8 (q, *J* = 32.8 Hz), 126.3 (q, *J* = 3.8 Hz), 123.9 (q, *J* = 270.0 Hz), 119.7, 62.8, 29.0, 10.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.25 (s, 3F).

HRMS (ESI) m/z calcd. for $C_{11}H_{12}ClF_3NO$ $[M + H]^+$ 266.0554, found 266.0556.

2-Chloro-3-cyclohexyl-*N*-phenylpropanamide (E37)



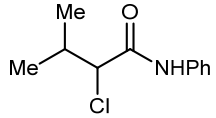
E37

According to **General procedure 2** with 3-cyclohexylpropanoic acid (3.12 g, 20.0 mmol, 1.0 equiv.) and aniline (1.86 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E37** as a white solid (4.09 g, 77% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.56 – 7.53 (m, 2H), 7.37 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 4.50 (dd, *J* = 10.3, 4.2 Hz, 1H), 2.11 – 2.04 (m, 1H), 1.89 – 1.57 (m, 7H), 1.34 – 1.11 (m, 3H), 1.08 – 0.88 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 137.0, 129.1, 124.9, 120.0, 59.5, 43.0, 34.4, 33.5, 31.5, 26.3, 26.1, 25.9.
HRMS (ESI) m/z calcd. for $C_{15}H_{21}ClNO$ $[M + H]^+$ 266.1306, found 266.1304.

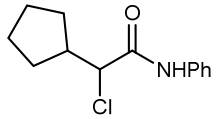
2-Chloro-3-methyl-*N*-phenylbutanamide (E38)



E38

According to **General procedure 2** with 3-methylbutanoic acid (2.04 g, 20.0 mmol, 1.0 equiv.) and aniline (1.86 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E38** as a white solid (2.10 g, 50% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.56 – 7.53 (m, 2H), 7.37 – 7.32 (m, 2H), 7.18 – 7.14 (m, 1H), 4.43 (d, J = 3.7 Hz, 1H), 2.72 – 2.61 (m, 1H), 1.13 (d, J = 6.8 Hz, 3H), 1.00 (d, J = 6.7 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 136.8, 129.0, 125.0, 120.1, 68.6, 32.5, 20.1, 16.6.
HRMS (ESI) m/z calcd. for $C_{11}H_{15}ClNO$ $[M + H]^+$ 212.0837, found 212.0835.

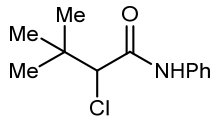
2-Chloro-2-cyclopentyl-*N*-phenylacetamide (E39)



E39

According to **General procedure 2** with 2-cyclopentylacetic acid (2.56 g, 20.0 mmol, 1.0 equiv.) and aniline (1.86 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E39** as a white solid (3.43 g, 72% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.55 – 7.52 (m, 2H), 7.36 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 4.46 (d, J = 5.8 Hz, 1H), 2.75 – 2.65 (m, 1H), 1.88 – 1.77 (m, 2H), 1.75 – 1.46 (m, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.9, 137.0, 129.0, 125.0, 120.1, 65.8, 44.2, 30.0, 28.3, 25.6, 25.3.
HRMS (ESI) m/z calcd. for $C_{13}H_{17}ClNO$ $[M + H]^+$ 238.0993, found 238.0989.

2-Chloro-3,3-dimethyl-*N*-phenylbutanamide (E40)

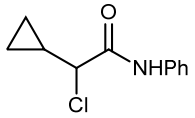


E40

According to **General procedure 2** with 3,3-dimethylbutanoic acid (1.16 g, 10.0 mmol, 1.0 equiv.) and aniline (0.93 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E40** as a yellowish solid (0.68 g, 30% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.53 – 7.50 (m, 2H), 7.36 – 7.31 (m, 2H), 7.17 – 7.12 (m, 1H), 4.23 (s, 1H), 1.17 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.9, 136.9, 129.0, 124.9, 120.1, 71.5, 35.9, 27.0.
HRMS (ESI) m/z calcd. For $C_{12}H_{17}ClNO$ $[M + H]^+$ 226.0993, found 226.0991.

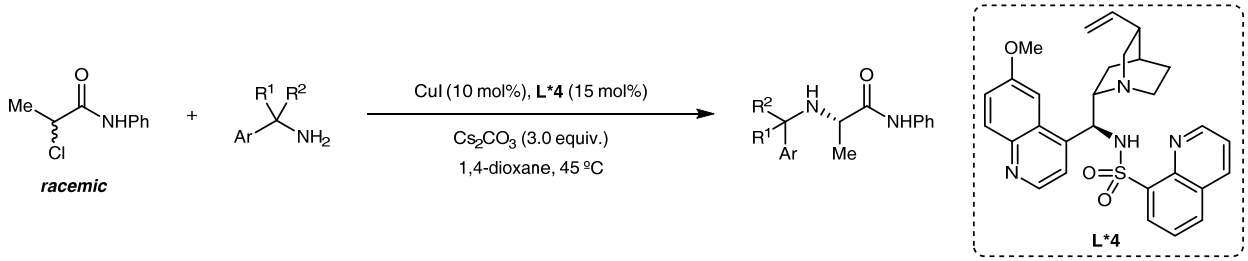
2-Chloro-2-cyclopropyl-*N*-phenylacetamide (E46)



E46

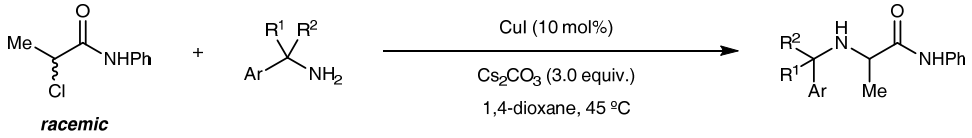
According to **General procedure 2** with 2-cyclopropylacetic acid (1.00 g, 25.0 mmol, 1.0 equiv.) and aniline (2.33 g, 25.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **E46** as a white solid (2.19 g, 42% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.57 – 7.54 (m, 2H), 7.37 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 3.93 (d, J = 8.9 Hz, 1H), 1.51 – 1.43 (m, 1H), 0.87 – 0.72 (m, 3H), 0.58 – 0.49 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 137.0, 129.0, 125.0, 120.0, 65.9, 16.9, 6.3, 4.8.
HRMS (ESI) m/z calcd. for $C_{11}H_{13}ClNO$ $[M + H]^+$ 210.0680, found 210.0680.

6. Enantioconvergent N-alkylation of aliphatic amines and ammonia



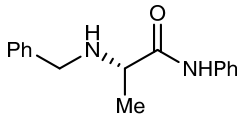
General procedure A:

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, alkyl chloride (0.30 mmol, 1.5 equiv.), benzylic primary amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), alkyl chloride (0.30 mmol, 1.5 equiv.), benzylic primary amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.

(*S*)-2-(Benzylamino)-*N*-phenylpropanamide (1)



1

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (48.6 mg, 96% yield, 92% e.e.).

[α]$_D^{20}$ = –8.6 (*c* 1.0, $CHCl_3$).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R

(major) = 12.37 min, t_R (minor) = 18.59 min.

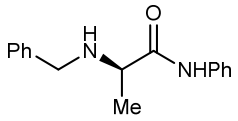
A gram-scale experiment: According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (1372.8 mg, 7.5 mmol, 1.5 equiv.) and benzylamine **A1** (535.4 mg, 5.0 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (1240.6 mg, 98% yield, 91% e.e.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.59 – 7.57 (m, 2H), 7.37 – 7.26 (m, 7H), 7.11 – 7.08 (m, 1H), 3.81 (s, 2H), 3.36 (q, *J* = 7.0 Hz, 1H), 1.80 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 139.1, 137.7, 128.9, 128.7, 128.0, 127.4, 124.0, 119.3, 58.4, 52.7, 19.6.

HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O$ $[M + H]^+$ 255.1492, found 255.1490.

(*R*)-2-(Benzylamino)-*N*-phenylpropanamide ((+)-1)



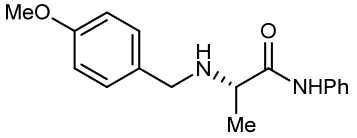
(+)-**1**

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and (8*R*,9*R*)-**L*4** (15.4 mg, 0.03 mmol, 15 mol%) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product (+)-**1** as a white solid (47.7 mg, 94% yield, 92% e.e.).

$[\alpha]_D^{20}$ = 5.7 (*c* 1.0, $CHCl_3$).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.88 min, t_R (major) = 20.72 min.

(*S*)-2-((4-Methoxybenzyl)amino)-*N*-phenylpropanamide (2)



2

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (4-methoxyphenyl)methanamine **A2** (27.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/3) to yield the product **2** as a white solid (44.4 mg, 78% yield, 92% e.e.).

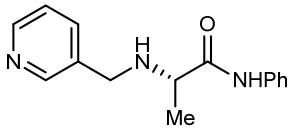
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 16.84 min, t_R (minor) = 26.73 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.59 – 7.57 (m, 2H), 7.34 – 7.31 (m, 2H), 7.25 – 7.21 (m, 2H), 7.11 – 7.07 (m, 1H), 6.90 – 6.86 (m, 2H), 3.79 (s, 3H), 3.74 (s, 2H), 3.34 (q, *J* = 7.0 Hz, 1H), 1.75 (s, 1H), 1.39 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 158.9, 137.8, 131.3, 129.2, 128.9, 124.0, 119.3, 114.1, 58.3, 55.2, 52.2, 19.7.

HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O_2$ $[M + H]^+$ 285.1598, found 285.1594.

(*S*)-*N*-Phenyl-2-((pyridin-3-ylmethyl)amino)propanamide (3)



3

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and pyridin-3-ylmethanamine **A3** (21.6 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **3** as a white solid (35.7 mg, 70% yield, 91% e.e.).

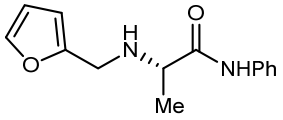
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 62.10 min, t_R (minor) = 70.80 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.21 (s, 1H), 8.62 – 8.55 (m, 2H), 7.67 – 7.64 (m, 1H), 7.59 – 7.55 (m, 2H), 7.36 – 7.28 (m, 3H), 7.13 – 7.09 (m, 1H), 3.84 (s, 2H), 3.37 (q, *J* = 7.0 Hz, 1H), 1.86 (s, 1H), 1.43 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 149.5, 148.9, 137.6, 135.7, 134.6, 129.0, 124.2, 123.6, 119.3, 58.6, 50.1, 19.6.

HRMS (ESI) m/z calcd. for $C_{15}H_{18}N_3O$ $[M + H]^+$ 256.1444, found 256.1441.

(*S*)-2-((Furan-2-ylmethyl)amino)-*N*-phenylpropanamide (4)



4

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and furan-2-ylmethanamine **A4** (19.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/2) to yield the product **4** as a colorless oil (38.6 mg, 79% yield, 94% e.e.).

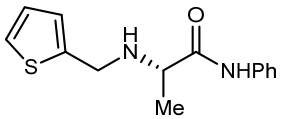
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.09 min, t_R (minor) = 18.07 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.36 (s, 1H), 7.60 – 7.58 (m, 2H), 7.36 – 7.30 (m, 3H), 7.11 – 7.07 (m, 1H), 6.31 – 6.29 (m, 1H), 6.20 – 6.19 (m, 1H), 3.80 (s, 2H), 3.33 (q, *J* = 7.0 Hz, 1H), 1.86 (s, 1H), 1.38 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 152.5, 142.3, 137.8, 128.9, 124.0, 119.3, 110.2, 107.5, 58.0, 44.9, 19.7.

HRMS (ESI) m/z calcd. for $C_{14}H_{17}N_2O_2$ $[M + H]^+$ 245.1285, found 245.1281.

(*S*)-*N*-Phenyl-2-((thiophen-2-ylmethyl)amino)propanamide (5)

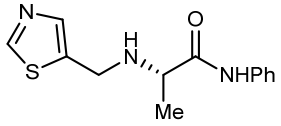


5

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and thiophen-2-ylmethanamine **A5** (22.6 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/2) to yield the product **5** as a colorless oil (41.7 mg, 80% yield, 93% e.e.).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.31 min, t_R (minor) = 19.21 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.62 – 7.60 (m, 2H), 7.35 – 7.31 (m, 2H), 7.25 – 7.23 (m, 1H), 7.11 – 7.08 (m, 1H), 6.97 – 6.95 (m, 2H), 4.10 – 3.95 (m, 2H), 3.40 (q, *J* = 7.0 Hz, 1H), 1.96 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 142.6, 137.7, 128.9, 126.9, 125.4, 124.8, 124.0, 119.3, 58.0, 47.1, 19.4.
HRMS (ESI) m/z calcd. for $C_{14}H_{17}N_2OS$ $[M + H]^+$ 261.1056, found 261.1053.

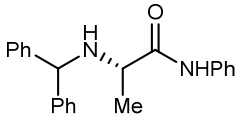
(*S*)-*N*-Phenyl-2-((thiazol-5-ylmethyl)amino)propanamide (6)



6

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and thiazol-5-ylmethanamine **A6** (22.8 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **6** as a colorless oil (28.7 mg, 55% yield, 94% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 16.57 min, t_R (minor) = 18.34 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (s, 1H), 8.77 (s, 1H), 7.77 (s, 1H), 7.60 – 7.58 (m, 2H), 7.36 – 7.34 (m, 2H), 7.14 – 7.09 (m, 1H), 4.17 – 4.01 (m, 2H), 3.40 (q, *J* = 7.0 Hz, 1H), 1.94 (s, 1H), 1.43 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 153.1, 141.3, 137.6, 137.1, 129.0, 124.2, 119.3, 58.2, 44.2, 19.4.
HRMS (ESI) m/z calcd. For $C_{13}H_{16}N_3OS$ $[M + H]^+$ 262.1009, found 262.1009.

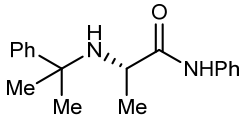
(*S*)-2-(Benzhydrylamino)-*N*-phenylpropanamide (7)



7

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and dibenzylamine **A7** (36.6 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **7** as a white solid (50.2 mg, 76% yield, 94% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.40 min, t_R (minor) = 16.71 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.27 (s, 1H), 7.54 – 7.51 (m, 2H), 7.37 – 7.20 (m, 12H), 7.11 – 7.06 (m, 1H), 4.87 (s, 1H), 3.32 (q, *J* = 7.0 Hz, 1H), 1.98 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 142.8, 142.6, 137.7, 128.9, 128.8, 128.6, 127.5, 127.4, 127.22, 127.17, 124.0, 119.3, 65.5, 56.6, 19.5.
HRMS (ESI) m/z calcd. for $C_{22}H_{23}N_2O$ $[M + H]^+$ 331.1805, found 331.1803.

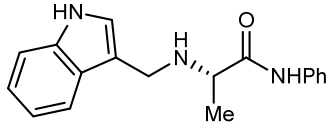
(*S*)-*N*-Phenyl-2-((2-phenylpropan-2-yl)amino)propanamide (8)



8

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-phenylpropan-2-amine **A8** (27.0 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **8** as a white solid (50.8 mg, 90% yield, 96% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 8.23 min, t_R (major) = 9.85 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.65 (s, 1H), 7.60 – 7.56 (m, 2H), 7.43 – 7.40 (m, 2H), 7.37 – 7.32 (m, 4H), 7.27 – 7.22 (m, 1H), 7.12 – 7.08 (m, 1H), 3.07 (q, *J* = 7.1 Hz, 1H), 1.68 (s, 1H), 1.50 (s, 3H), 1.49 (s, 3H), 1.26 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.4, 146.7, 137.8, 129.0, 128.4, 126.9, 125.4, 124.0, 119.2, 56.8, 53.7, 31.2, 26.6, 21.3.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M + H]^+$ 283.1805, found 283.1802.

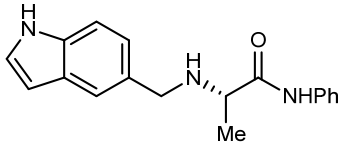
(*S*)-2-(((1*H*-Indol-3-yl)methyl)amino)-*N*-phenylpropanamide (9)



9

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (1*H*-indol-3-yl)methanamine **A9** (29.2 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **9** as a white solid (40.1 mg, 68% yield, 93% e.e.).
HPLC analysis: Chiralcel ADH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 19.62 min, t_R (minor) = 21.86 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 8.29 (s, 1H), 7.71 – 7.69 (m, 1H), 7.40 – 7.37 (m, 2H), 7.34 – 7.32 (m, 1H), 7.28 – 7.24 (m, 2H), 7.22 – 7.15 (m, 2H), 7.08 – 7.02 (m, 2H), 4.07 – 3.97 (m, 2H), 3.38 (q, *J* = 7.0 Hz, 1H), 1.83 (s, 1H), 1.38 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.5, 137.7, 136.5, 128.8, 126.7, 123.8, 123.0, 122.3, 119.8, 119.3, 118.4, 113.6, 111.6, 58.6, 44.0, 19.8.
HRMS (ESI) m/z calcd. for $C_{18}H_{20}N_3O$ $[M + H]^+$ 294.1601, found 294.1604.

(*S*)-2-(((1*H*-Indol-5-yl)methyl)amino)-*N*-phenylpropanamide (10)

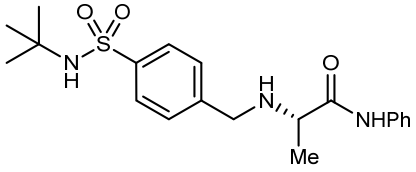


10

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (1*H*-indol-5-yl)methanamine **A10** (29.2 mg, 0.20 mmol, 1.0 equiv.) for 72

h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **10** as a colorless oil (52.2 mg, 89% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.54 min, t_R (minor) = 13.40 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.57 (s, 1H), 8.58 (s, 1H), 7.61 – 7.59 (m, 2H), 7.56 (d, *J* = 1.6 Hz, 1H), 7.34 – 7.30 (m, 3H), 7.18 – 7.16 (m, 1H), 7.12 – 7.08 (m, 2H), 6.51 – 6.50 (m, 1H), 3.91 – 3.82 (m, 2H), 3.42 (q, *J* = 7.0 Hz, 1H), 2.41 (s, 1H), 1.38 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 137.7, 135.2, 130.1, 128.9, 128.0, 124.9, 124.0, 122.3, 120.1, 119.4, 111.4, 102.2, 58.1, 53.2, 19.6.
HRMS (ESI) m/z calcd. for $C_{18}H_{20}N_3O$ $[M + H]^+$ 294.1601, found 294.1602.

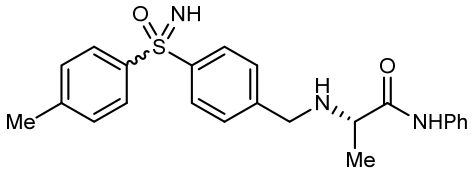
(*S*)-2-((4-(*N*-(*tert*-Butyl)sulfamoyl)benzyl)amino)-*N*-phenylpropanamide (11)



11

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 4-(aminomethyl)-*N*-(*tert*-butyl)benzenesulfonamide **A11** (48.4 mg, 0.20 mmol, 1.0 equiv.) and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 40/1) to yield the product **11** as a colorless oil (53.9 mg, 69% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 23.28 min, t_R (minor) = 51.64 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.88 – 7.86 (m, 2H), 7.58 – 7.55 (m, 2H), 7.45 – 7.43 (m, 2H), 7.35 – 7.30 (m, 2H), 7.13 – 7.09 (m, 1H), 5.08 (s, 1H), 3.88 (s, 2H), 3.36 (q, *J* = 6.9 Hz, 1H), 1.89 (s, 1H), 1.42 (d, *J* = 6.9 Hz, 3H), 1.20 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 143.7, 142.4, 137.5, 129.0, 128.2, 127.2, 124.2, 119.3, 58.4, 54.6, 52.0, 30.0, 19.6.
HRMS (ESI) m/z calcd. for $C_{20}H_{28}N_3O_3S$ $[M + H]^+$ 390.1846, found 390.1849.

(*S*)-2-((4-(4-Methylphenylsulfonimidoyl)benzyl)amino)-*N*-phenylpropanamide (12)



12

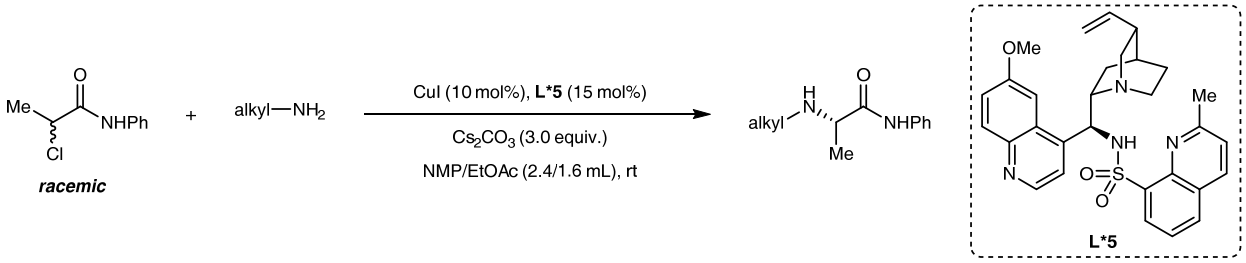
According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), (4-(aminomethyl)phenyl)(imino)(*p*-tolyl)-λ^6-sulfanone **A12** (52.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **12** as a colorless oil (64.7 mg, 79% yield, 1:1 d.r., 94% e.e., 94% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 30.42 min, t_R (minor) = 78.32 min, 94% e.e.; t_R (major) = 37.21 min, t_R (minor) =

49.51 min, 94% e.e.; 1:1 d.r..

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (s, 1H), 7.99 – 7.97 (m, 2H), 7.90 – 7.88 (m, 2H), 7.54 – 7.52 (m, 2H), 7.43 – 7.41 (m, 2H), 7.32 – 7.25 (m, 4H), 7.11 – 7.07 (m, 1H), 3.82 (s, 2H), 3.30 (q, J = 6.9 Hz, 1H), 2.88 (s, 1H), 2.37 (s, 3H), 2.02 (s, 1H), 1.38 (d, J = 6.9 Hz, 3H).

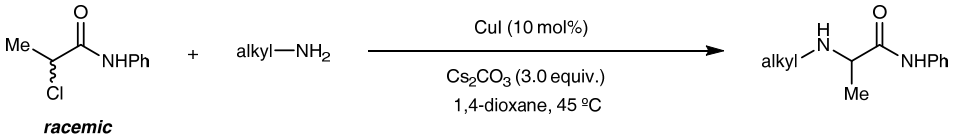
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 144.1, 143.4, 142.6, 140.1, 137.5, 129.7, 128.9, 128.5, 128.1, 127.8, 124.1, 119.2, 58.3, 51.8, 21.4, 19.5.

HRMS (ESI) m/z calcd. for $C_{23}H_{26}N_3O_2S$ $[M + H]^+$ 408.1740, found 408.1743.



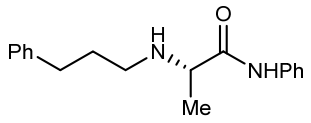
General procedure B:

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*5** (15.8 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous NMP (2.4 mL). Then, the mixture was stirred at room temperature for 1 h. After that, alkyl chloride (0.30 mmol, 1.5 equiv.), non-benzylic primary amine (0.20 mmol, 1.0 equiv.), and anhydrous EtOAc (1.6 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 96 h. Upon completion (monitored by TLC), The reaction mixture was diluted with 10 mL EtOAc and washed with brine (10 mL × 4). The organic layer was dried with anhydrous Na_2SO_4 and filtered through a pad of celite. The organic solvent was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), alkyl chloride (0.30 mmol, 1.5 equiv.), non-benzylic primary amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 or 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.

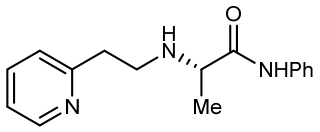
(*S*)-*N*-Phenyl-2-((3-phenylpropyl)amino)propanamide (13)



13

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 3-phenylpropan-1-amine **A13** (27.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **13** as a colorless oil (47.4 mg, 84% yield, 92% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 13.04 min, t_R (major) = 18.26 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.58 – 7.56 (m, 2H), 7.34 – 7.25 (m, 4H), 7.20 – 7.17 (m, 3H), 7.11 – 7.07 (m, 1H), 3.24 (q, *J* = 7.0 Hz, 1H), 2.78 – 2.60 (m, 4H), 1.89 – 1.81 (m, 2H), 1.55 (s, 1H), 1.36 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 141.5, 137.8, 129.0, 128.4, 128.2, 126.0, 124.0, 119.3, 59.0, 48.4, 33.6, 31.8, 19.7.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M + H]^+$ 283.1805, found 283.1804.

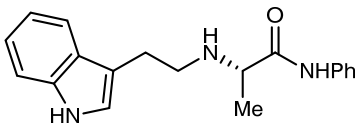
(*S*)-*N*-Phenyl-2-((2-(pyridin-2-yl)ethyl)amino)propanamide (14)



14

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(pyridin-2-yl)ethan-1-amine **A14** (24.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **14** as a colorless oil (41.0 mg, 76% yield, 96% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.09 min, t_R (minor) = 18.84 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.48 (s, 1H), 8.56 – 8.54 (m, 1H), 7.66 – 7.61 (m, 1H), 7.53 – 7.51 (m, 2H), 7.31 – 7.27 (m, 2H), 7.20 – 7.16 (m, 2H), 7.10 – 7.06 (m, 1H), 3.42 (q, *J* = 7.0 Hz, 1H), 3.17 – 3.01 (m, 4H), 2.77 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, CDCl3) δ 172.7, 159.6, 149.2, 137.8, 136.8, 128.8, 123.9, 123.4, 121.6, 119.5, 58.7, 47.5, 36.3, 19.4.
HRMS (ESI) m/z calcd. for $C_{16}H_{20}N_3O$ $[M + H]^+$ 270.1601, found 270.1598.

(*S*)-2-((2-(1*H*-Indol-3-yl)ethyl)amino)-*N*-phenylpropanamide (15)

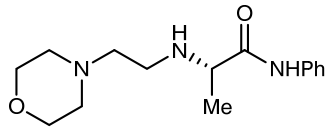


15

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(1*H*-indol-3-yl)ethan-1-amine **A15** (32.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **15** as a colorless oil (31.4 mg, 51% yield, 95% e.e.).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.96 min, t_R (minor) = 10.96 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.26 (s, 1H), 8.09 (s, 1H), 7.64 – 7.61 (m, 1H), 7.40 – 7.38 (m, 1H), 7.32 – 7.29 (m, 2H), 7.27 – 7.19 (m, 3H), 7.14 – 7.10 (m, 1H), 7.07 – 7.03 (m, 2H), 3.29 (q, *J* = 7.0 Hz, 1H), 3.10 – 3.05 (m, 1H), 3.01 – 2.90 (m, 3H), 1.68 (s, 1H), 1.33 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 137.8, 136.4, 128.8, 127.4, 123.8, 122.2, 122.0, 119.6, 119.3, 118.8, 113.6, 111.3, 58.7, 48.8, 25.9, 19.7.
HRMS (ESI) m/z calcd. For $C_{19}H_{22}N_3O$ $[M + H]^+$ 308.1757, found 308.1754.

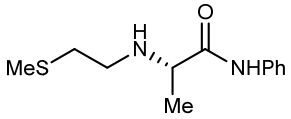
(*S*)-2-((2-Morpholinoethyl)amino)-*N*-phenylpropanamide (16)



16

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-morpholinoethan-1-amine **A16** (26.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **16** as a colorless oil (28.3 mg, 51% yield, 89% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 75/25, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.49 min, t_R (minor) = 9.29 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.43 (s, 1H), 7.61 – 7.59 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.75 – 3.67 (m, 4H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.84 – 2.68 (m, 2H), 2.57 – 2.40 (m, 6H), 1.87 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 137.9, 129.0, 124.0, 119.4, 66.9, 59.1, 58.1, 53.6, 44.9, 19.7.
HRMS (ESI) m/z calcd. For $C_{15}H_{24}N_3O_2$ $[M + H]^+$ 278.1863, found 278.1861.

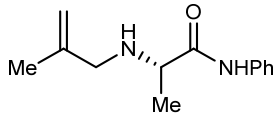
(*S*)-2-((2-(Methylthio)ethyl)amino)-*N*-phenylpropanamide (17)



17

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(methylthio)ethan-1-amine **A17** (18.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **17** as a colorless oil (30.0 mg, 63% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.53 min, t_R (minor) = 20.69 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.66 – 7.63 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.30 (q, *J* = 7.0 Hz, 1H), 3.00 – 2.94 (m, 1H), 2.81 – 2.75 (m, 1H), 2.72 – 2.62 (m, 2H), 2.10 (s, 3H), 1.73 (s, 1H), 1.42 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 137.9, 128.9, 123.9, 119.2, 58.6, 46.4, 34.9, 19.8, 15.4.
HRMS (ESI) *m/z* calcd. for $C_{12}H_{19}N_2OS$ $[M + H]^+$ 239.1213, found 239.1208.

(*S*)-2-((2-Methylallyl)amino)-*N*-phenylpropanamide (18)



18

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-methylprop-2-en-1-amine **A18** (14.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **18** as a colorless oil (33.7 mg, 77% yield, 93% e.e.).

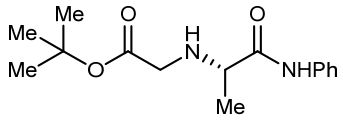
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.81 min, t_R (minor) = 9.22 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 7.60 – 7.56 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 4.96 – 4.95 (m, 1H), 4.90 – 4.89 (m, 1H), 3.30 (q, *J* = 7.0 Hz, 1H), 3.26 – 3.12 (m, 2H), 1.79 (s, 3H), 1.66 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 143.0, 137.8, 128.9, 123.9, 119.2, 111.4, 58.2, 54.4, 20.8, 19.6.

HRMS (ESI) m/z calcd. for $C_{13}H_{19}N_2O$ $[M + H]^+$ 219.1492, found 219.1491.

***tert*-Butyl (*S*)-(1-oxo-1-(phenylamino)propan-2-yl)glycinate (19)**



19

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl glycinate **A19** (26.2mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **19** as a colorless oil (30.6 mg, 55% yield, 95% e.e.).

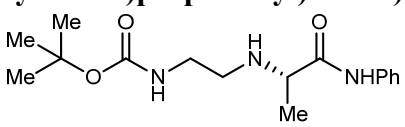
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.97 min, t_R (minor) = 10.55 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.33 (s, 1H), 7.63 – 7.60 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.42 – 3.25 (m, 3H), 1.88 (s, 1H), 1.47 (s, 9H), 1.44 (d, J = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 171.3, 137.8, 128.9, 124.0, 119.4, 81.9, 59.2, 50.4, 28.1, 19.8.

HRMS (ESI) m/z calcd. for $C_{15}H_{23}N_2O_3$ $[M + H]^+$ 279.1703, found 279.1700.

***tert*-Butyl (*S*)-(2-((1-oxo-1-(phenylamino)propan-2-yl)amino)ethyl)carbamate (20)**

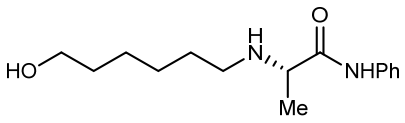


20

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl (2-aminoethyl)carbamate **A20** (32.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel ($EtOAc/CH_3OH$ = 50/1) to yield the product **20** as a colorless oil (46.1 mg, 75% yield, 90% e.e.).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.49 min, t_R (minor) = 9.55 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.63 – 7.60 (m, 2H), 7.33 – 7.29 (m, 2H), 7.11 – 7.07 (m, 1H), 4.98 (s, 1H), 3.37 (q, *J* = 7.0 Hz, 1H), 3.30 – 3.26 (m, 2H), 2.89 – 2.83 (m, 1H), 2.73 – 2.67 (m, 1H), 2.59 (s, 1H), 1.45 (s, 9H), 1.41 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 156.3, 137.7, 128.9, 124.0, 119.4, 79.6, 58.6, 48.6, 40.3, 28.3, 19.4.
HRMS (ESI) m/z calcd. For $C_{16}H_{26}N_3O_3$ $[M + H]^+$ 308.1969, found 308.1964.

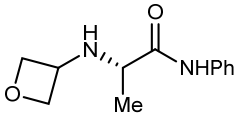
(*S*)-2-((6-Hydroxyhexyl)amino)-*N*-phenylpropanamide (21)



21

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 6-aminohexan-1-ol **A21** (23.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **21** as a colorless oil (34.4 mg, 65% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.57 min, t_R (minor) = 20.59 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.60 – 7.57 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.62 (t, *J* = 6.5 Hz, 2H), 3.25 (q, *J* = 7.0 Hz, 1H), 2.73 – 2.67 (m, 1H), 2.61 – 2.54 (m, 1H), 1.72 (s, 2H), 1.62 – 1.49 (m, 4H), 1.44 – 1.35 (m, 7H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.4, 137.8, 128.9, 123.9, 119.2, 62.6, 59.0, 48.7, 32.6, 30.1, 27.0, 25.6, 19.7.
HRMS (ESI) m/z calcd. For $C_{15}H_{25}N_2O_2$ $[M + H]^+$ 265.1911, found 265.1907.

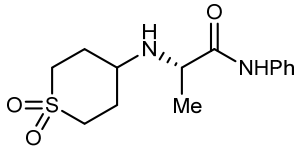
(*S*)-2-(Oxetan-3-ylamino)-*N*-phenylpropanamide (22)



22

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and oxetan-3-amine **A22** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **22** as a colorless oil (22.9 mg, 52% yield, 89% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 19.53 min, t_R (minor) = 27.31 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.05 (s, 1H), 7.58 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.13 – 7.09 (m, 1H), 4.85 (q, *J* = 6.8 Hz, 2H), 4.50 – 4.43 (m, 2H), 4.02 – 3.96 (m, 1H), 3.25 (q, *J* = 7.0 Hz, 1H), 1.88 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 137.5, 129.0, 124.3, 119.4, 80.0, 78.6, 56.7, 52.5, 19.9.
HRMS (ESI) m/z calcd. For $C_{12}H_{17}N_2O_2$ $[M + H]^+$ 221.1285, found 221.1282.

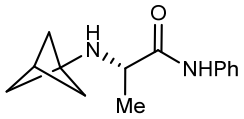
(*S*)-2-((1,1-Dioxidotetrahydro-2*H*-thiopyran-4-yl)amino)-*N*-phenylpropanamide (23)



23

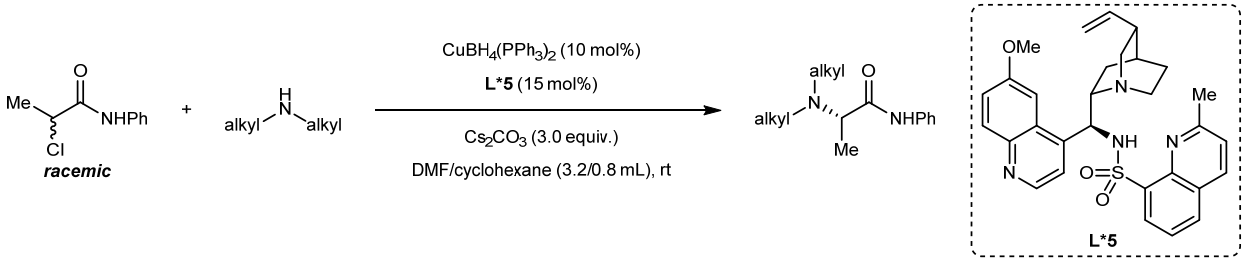
According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 4-Aminotetrahydro-2*H*-thiopyran 1,1-dioxide hydrochloride **A23** (37.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography (EtOAc) on silica gel to yield the product **23** as a yellowish oil (32.0 mg, 54% yield, 93% e.e.).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 22.59 min, t_R (minor) = 31.08 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.99 (s, 1H), 7.57 – 7.55 (m, 2H), 7.36 – 7.32 (m, 2H), 7.15 – 7.11 (m, 1H), 3.33 (q, *J* = 7.0 Hz, 1H), 3.18 – 3.07 (m, 2H), 3.02 – 2.93 (m, 2H), 2.86 – 2.80 (m, 1H), 2.32 – 2.19 (m, 2H), 2.11 – 2.01 (m, 2H), 1.69 (s, 1H) 1.42 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 137.3, 129.1, 124.4, 119.4, 57.0, 52.7, 49.0, 48.9, 30.4, 30.0, 20.3.
HRMS (ESI) *m/z* calcd. for $C_{14}H_{21}N_2O_3S$ $[M + H]^+$ 297.1267, found 297.1263.

(*S*)-2-(Bicyclo[1.1.1]pentan-1-ylamino)-*N*-phenylpropanamide (24)



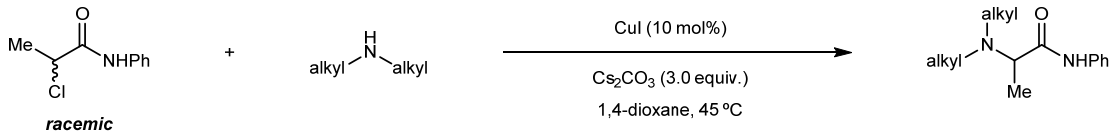
24

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), bicyclo[1.1.1]pentan-1-amine hydrochloride **A24** (23.8 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **24** as a colorless oil (39.0 mg, 85% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.05 min, t_R (minor) = 11.15 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.22 (s, 1H), 7.59 – 7.56 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.38 (q, *J* = 7.0 Hz, 1H), 2.38 (s, 1H), 1.87 – 1.83 (m, 4H), 1.77 – 1.74 (m, 3H), 1.37 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 137.7, 128.9, 124.0, 119.3, 55.3, 55.2, 51.0, 22.6, 20.0.
HRMS (ESI) *m/z* calcd. for $C_{14}H_{19}N_2O$ $[M + H]^+$ 231.1492, found 231.1494.



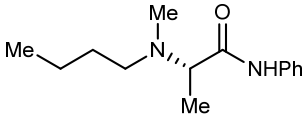
General procedure C:

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with $CuBH_4(PPh_3)_2$ (12.0 mg, 0.02 mmol, 10 mol%), **L*5** (15.8 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous DMF (3.2 mL). Then, the mixture was stirred at room temperature for 1 h. After that, alkyl chloride (0.30 mmol, 1.5 equiv.), secondary alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous cyclohexane (0.8 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 96 h. Upon completion (monitored by TLC), The reaction mixture was diluted with 10 mL EtOAc and washed with brine (10 mL × 3). The organic layer was dried with anhydrous Na_2SO_4 and filtered through a pad of celite. The organic solvent was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), alkyl chloride (0.30 mmol, 1.5 equiv.), secondary alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 or 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.

(*S*)-2-(Butyl(methyl)amino)-*N*-phenylpropanamide (25)



25

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *N*-methylbutan-1-amine **A25** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **25** as a colorless oil (36.1 mg, 77% yield, 90% e.e.).

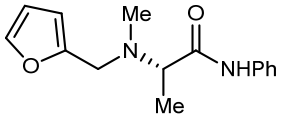
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.39 min, t_R (minor) = 11.09 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.42 (s, 1H), 7.58 – 7.56 (m, 2H), 7.34 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.32 (q, J = 7.0 Hz, 1H), 2.45 (t, J = 7.2 Hz, 2H), 2.28 (s, 3H), 1.55 – 1.47 (m, 2H), 1.42 – 1.33 (m, 2H), 1.27 (d, J = 7.0 Hz, 3H), 0.94 (t, J = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 138.0, 128.9, 123.7, 119.1, 63.6, 54.2, 38.0, 30.0, 20.4, 14.0, 9.2.

HRMS (ESI) *m/z* calcd. for $C_{14}H_{23}N_2O$ $[M + H]^+$ 235.1805, found 235.1809.

(*S*)-2-((Furan-2-ylmethyl)(methyl)amino)-*N*-phenylpropanamide (26)



26

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1-(furan-2-yl)-*N*-methylmethanamine **A26** (22.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **26** as a colorless oil (24.8 mg, 48% yield, 91% e.e.).

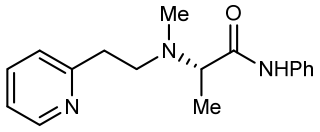
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.93 min, t_R (minor) = 10.35 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.51 (s, 1H), 7.65 – 7.61 (m, 2H), 7.423 – 7.416 (m, 1H), 7.36 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 6.34– 6.33 (m, 1H), 6.24 – 6.23 (m,1H), 3.59 (q, *J* = 14.3 Hz, 2H), 3.38 (q, *J* = 7.0 Hz, 1H), 2.33 (s, 3H), 1.33 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 151.8, 142.4, 138.1, 128.9, 123.8, 119.2, 110.2, 108.7, 62.8, 50.8, 38.5, 9.8.

HRMS (ESI) *m/z* calcd. for $C_{15}H_{19}N_2O_2$ $[M + H]^+$ 259.1441, found 259.1445.

(*S*)-2-(Methyl(2-(pyridin-2-yl)ethyl)amino)-*N*-phenylpropanamide (27)



27

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), *N*-methyl-2-(pyridin-2-yl)ethan-1-amine dihydrochloride **A27** (41.6 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (325.6 mg, 1.0 mmol, 5.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **27** as a colorless oil (38.8 mg, 69% yield, 94% e.e.).

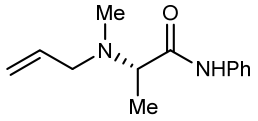
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 19.90 min, t_R (minor) = 22.43 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.16 (s, 1H), 8.54 – 8.52 (m, 1H), 7.63 – 7.58 (m, 1H), 7.42 – 7.40 (m, 2H), 7.31 – 7.26 (m, 2H), 7.20 – 7.17 (m, 1H), 7.15 – 7.12 (m, 1H), 7.08 – 7.04 (m, 1H), 3.40 (q, *J* = 7.0 Hz, 1H), 3.04 – 2.94 (m, 4H), 2.31 (s, 3H), 1.28 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 160.0, 149.4, 138.0, 136.5, 128.8, 123.7, 123.2, 121.4, 119.3, 63.2, 54.4, 37.6, 36.5, 9.0.

HRMS (ESI) *m/z* calcd. for $C_{17}H_{22}N_3O$ $[M + H]^+$ 284.1757, found 284.1761.

(*S*)-2-(Allyl(methyl)amino)-*N*-phenylpropanamide (28)

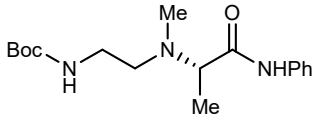


28

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30

mmol, 1.5 equiv.) and *N*-methylprop-2-en-1-amine **A28** (14.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **28** as a colorless oil (25.6 mg, 59% yield, 92% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.11 min, t_R (minor) = 9.70 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.58 – 7.55 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.06 (m, 1H), 5.92 – 5.82 (m, 1H), 5.29 – 5.19 (m, 2H), 3.38 (q, *J* = 7.0 Hz, 1H), 3.16 – 3.04 (m, 2H), 2.30 (s, 3H), 1.29 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 138.0, 135.1, 129.0, 123.8, 119.2, 117.9, 62.8, 57.4, 38.2, 9.5.
HRMS (ESI) *m/z* calcd. for $C_{13}H_{19}N_2O$ $[M + H]^+$ 219.1492, found 219.1496.

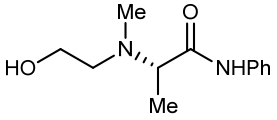
tert-Butyl (*S*)-(2-(methyl(1-oxo-1-(phenylamino)propan-2-yl)amino)ethyl)carbamate (29)



29

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl (2-(methylamino)ethyl)carbamate **A29** (34.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **29** as a colorless oil (42.4 mg, 66% yield, 92% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH =95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.11 min, t_R (major) = 17.36 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.29 (s, 1H), 7.64 – 7.61 (m, 2H), 7.34 – 7.29 (m, 2H), 7.11 – 7.06 (m, 1H), 4.75 (s, 1H), 3.39 – 3.29 (m, 3H), 2.56 (t, *J* = 6.1 Hz, 2H), 2.35 (s, 3H), 1.43 (s, 9H), 1.29 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 156.0, 138.0, 128.9, 123.9, 119.3, 79.6, 64.0, 54.1, 38.5, 38.2, 28.3, 9.3.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{28}N_3O_3$ $[M + H]^+$ 322.2125, found 322.2130.

(*S*)-2-((2-Hydroxyethyl)(methyl)amino)-*N*-phenylpropanamide (30)

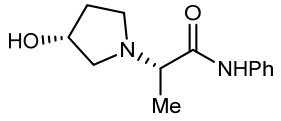


30

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(methylamino)ethan-1-ol **A30** (15.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **30** as a colorless oil (36.0 mg, 81% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.88 min, t_R (minor) = 12.89 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.65 (s, 1H), 7.63 – 7.60 (m, 2H), 7.32 – 7.28 (m, 2H), 7.09 – 7.05 (m, 1H), 3.82 – 3.72 (m, 2H), 3.49 (q, *J* = 7.0 Hz, 1H), 2.75 – 2.69 (m, 1H), 2.64 – 2.58 (m, 2H), 2.44 (s, 3H), 1.34 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 138.0, 128.8, 123.9, 119.5, 63.9, 59.1, 55.7, 38.5, 10.1.
HRMS (ESI) m/z calcd. for $C_{12}H_{19}N_2O_2$ $[M + H]^+$ 223.1441, found 223.1442.

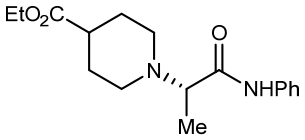
(*S*)-2-((*R*)-3-Hydroxypyrrolidin-1-yl)-*N*-phenylpropanamide (31)



31

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (*R*)-pyrrolidin-3-ol **A31** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 30/1) to yield the product **31** as a colorless oil (25.3 mg, 54% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 7.60 – 7.56 (m, 2H), 7.34 – 7.29 (m, 2H), 7.12 – 7.08 (m, 1H), 4.47 – 4.43 (m, 1H), 3.27 (q, *J* = 6.9 Hz, 1H), 3.12 – 3.06 (m, 1H), 2.89 – 2.78 (m, 3H), 2.65 – 2.59 (m, 1H), 2.24 – 2.15 (m, 1H), 1.90 – 1.82 (m, 1H), 1.41 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.8, 128.9, 124.2, 119.7, 70.6, 63.7, 59.3, 50.3, 34.4, 16.0.
HRMS (ESI) m/z calcd. for $C_{13}H_{19}N_2O_2$ $[M + H]^+$ 235.1441, found 235.1441.

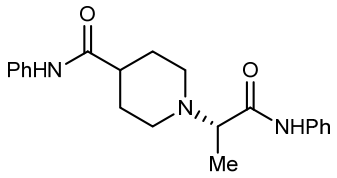
Ethyl (*S*)-1-(1-oxo-1-(phenylamino)propan-2-yl)piperidine-4-carboxylate (32)



32

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and ethyl piperidine-4-carboxylate **A32** (31.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **32** as a colorless oil (44.4 mg, 73% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.15 min, t_R (minor) = 14.84 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 7.58 – 7.54 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 3.23 (q, *J* = 7.0 Hz, 1H), 2.88 – 2.80 (m, 2H), 2.48 – 2.42 (m, 1H), 2.37 – 2.29 (m, 1H), 2.27 – 2.21 (m, 1H), 2.03 – 1.97 (m, 2H), 1.89 – 1.71 (m, 2H), 1.30 – 1.26 (m, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.8, 171.8, 137.8, 128.9, 123.9, 119.2, 64.6, 60.4, 51.7, 47.2, 40.7, 28.9, 28.7, 14.2, 10.6.
HRMS (ESI) m/z calcd. for $C_{17}H_{25}N_2O_3$ $[M + H]^+$ 305.1860, found 305.1861.

(*S*)-1-(1-Oxo-1-(phenylamino)propan-2-yl)-*N*-phenylpiperidine-4-carboxamide (33)



33

According to **General procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), *N*-phenylpiperidine-4-carboxamide **A33** (40.8 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **33** as a white solid (42.0 mg, 60% yield, 86% e.e.).

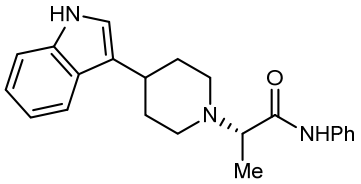
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.19 min, t_R (major) = 14.29 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 8.07 (s, 1H), 7.59 – 7.53 (m, 4H), 7.33 – 7.28 (m, 4H), 7.11 – 7.07 (m, 2H), 3.19 (q, *J* = 7.0 Hz, 1H), 2.90 – 2.80 (m, 2H), 2.37 – 2.22 (m, 2H), 2.15 – 2.08 (m, 1H), 2.02 – 1.83 (m, 4H), 1.26 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 172.0, 138.0, 137.5, 129.0, 128.8, 124.2, 124.1, 119.8, 119.3, 64.5, 52.1, 46.8, 43.6, 29.4, 29.2, 10.8.

HRMS (ESI) m/z calcd. for $C_{21}H_{26}N_3O_2$ $[M + H]^+$ 352.2020, found 352.2022.

(*S*)-2-(4-(1*H*-Indol-3-yl)piperidin-1-yl)-*N*-phenylpropanamide (34)



34

According to **General procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 3-(piperidin-4-yl)-1*H*-indole **A34** (40.0 mg, 0.20 mmol, 1.0 equiv.) and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **34** as a white solid (61.4 mg, 88% yield, 90% e.e.).

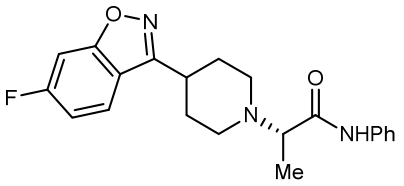
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 16.58 min, t_R (major) = 19.53 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.51 (s, 1H), 8.23 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.61 – 7.58 (m, 2H), 7.36 – 7.31 (m, 3H), 7.21 – 7.17 (m, 1H), 7.14 – 7.07 (m, 2H), 6.97 (d, *J* = 2.3 Hz, 1H), 3.29 (q, *J* = 7.0 Hz, 1H), 2.97 – 2.84 (m, 3H), 2.66 – 2.59 (m, 1H), 2.42 – 2.36 (m, 1H), 2.19 – 2.12 (m, 2H), 1.92 – 1.72 (m, 2H), 1.35 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 137.9, 136.4, 129.0, 126.4, 123.9, 121.9, 120.7, 119.6, 119.3, 119.03, 118.95, 111.3, 64.7, 53.4, 47.9, 33.5, 33.4, 33.2, 10.8.

HRMS (ESI) m/z calcd. for $C_{22}H_{26}N_3O$ $[M + H]^+$ 348.2070, found 348.2072.

(*S*)-2-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-*N*-phenylpropanamide (35)



35

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 6-fluoro-3-(piperidin-4-yl)benzo[*d*]isoxazole **A35** (44.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **35** as a colorless oil (70.6 mg, 96% yield, 96% e.e.).

HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.62 min, t_R (minor) = 17.57 min.

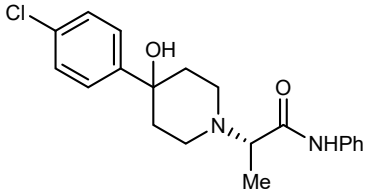
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.68 – 7.64 (m, 1H), 7.60 – 7.57 (m, 2H), 7.35 – 7.31 (m, 2H), 7.27 (dd, *J* = 8.5, 2.1 Hz, 1H), 7.12 – 7.06 (m, 2H), 3.33 (q, *J* = 7.0 Hz, 1H), 3.15 – 2.99 (m, 3H), 2.69 – 2.63 (m, 1H), 2.47 – 2.41 (m, 1H), 2.25 – 2.02 (m, 4H), 1.37 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 164.1 (d, *J* = 249.3 Hz), 163.8 (d, *J* = 13.5 Hz), 160.7, 137.8, 129.0, 124.0, 122.1 (d, *J* = 11.0 Hz), 119.3, 117.3 (d, *J* = 1.2 Hz), 112.5 (d, *J* = 25.3 Hz), 97.5 (d, *J* = 26.6 Hz), 64.7, 52.4, 47.6, 33.9, 31.1, 30.9, 10.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -109.24 (s, 1F).

HRMS (ESI) *m/z* calcd. for $C_{21}H_{23}FN_3O_2$ $[M + H]^+$ 368.1769, found 368.1775.

(*S*)-2-(4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl)-*N*-phenylpropanamide (36)



36

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 4-(4-chlorophenyl)piperidin-4-ol **A36** (42.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc /CH_3OH = 20/1) to yield the product **36** as a colorless oil (61.0 mg, 85% yield, 91% e.e.).

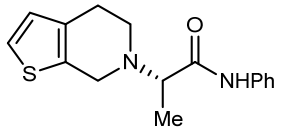
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.22 min, t_R (minor) = 11.27 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.56 – 7.53 (m, 2H), 7.48 – 7.44 (m, 2H), 7.35 – 7.30 (m, 4H), 7.12 – 7.08 (m, 1H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.96 – 2.90 (m, 1H), 2.76 – 2.70 (m, 3H), 2.20 – 2.04 (m, 3H), 1.88 – 1.81 (m, 2H), 1.35 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 146.5, 137.8, 133.0, 129.0, 128.5, 126.1, 124.1, 119.4, 70.4, 64.6, 48.6, 43.4, 38.7, 38.6, 11.2.

HRMS (ESI) m/z calcd. for $C_{20}H_{24}ClN_2O_2$ $[M + H]^+$ 359.1521, found 359.1522.

(*S*)-2-(4,7-Dihydrothieno[2,3-*c*]pyridin-6(5*H*)-yl)-*N*-phenylpropanamide (37)



37

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 4,5,6,7-tetrahydrothieno[2,3-*c*]pyridine **A37** (27.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **37** as a colorless oil (40.0 mg, 70% yield, 88% e.e.).

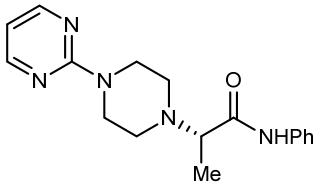
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 11.28 min, t_R (minor) = 13.94 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.30 (s, 1H), 7.57 – 7.54 (m, 2H), 7.34 – 7.29 (m, 2H), 7.14 (d, *J* = 5.1 Hz, 1H), 7.11 – 7.06 (m, 1H), 6.77 (d, *J* = 5.1 Hz, 1H), 3.86 – 3.82 (m, 1H), 3.71 – 3.67 (m, 1H), 3.44 (q, *J* = 7.0 Hz, 1H), 2.96 – 2.83 (m, 4H), 1.41 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 137.8, 133.5, 133.1, 129.0, 125.2, 124.0, 123.2, 119.3, 64.0, 49.8, 47.7, 26.0, 11.3.

HRMS (ESI) *m/z* calcd. for $C_{16}H_{19}N_2OS$ $[M + H]^+$ 287.1213, found 287.1217.

(*S*)-*N*-Phenyl-2-(4-(pyrimidin-2-yl)piperazin-1-yl)propanamide (38)



38

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(piperazin-1-yl)pyrimidine **A38** (32.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **38** as a colorless oil (52.9 mg, 85% yield, 91% e.e.).

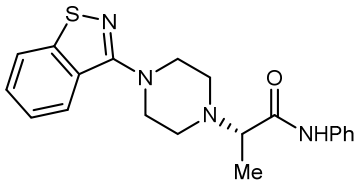
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 50/50, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.70 min, t_R (minor) = 22.77 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.32 (s, 1H), 8.33 – 8.32 (m, 2H), 7.60 – 7.57 (m, 2H), 7.36 – 7.31 (m, 2H), 7.13 – 7.08 (m, 1H), 6.53 – 6.51 (m, 1H), 3.97 – 3.85 (m, 4H), 3.27 (q, *J* = 7.0 Hz, 1H), 2.73 – 2.68 (m, 2H), 2.65 – 2.59 (m, 2H), 1.33 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 161.5, 157.7, 137.8, 129.0, 124.0, 119.2, 110.2, 64.6, 49.7, 44.0, 11.2.

HRMS (ESI) m/z calcd. for $C_{17}H_{22}N_5O$ $[M + H]^+$ 312.1819, found 312.1819.

(*S*)-2-(4-(Benzo[*d*]isothiazol-3-yl)piperazin-1-yl)-*N*-phenylpropanamide (39)



39

According to **General Procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 3-(piperazin-1-yl)benzo[*d*]isothiazole **A39** (43.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **39** as a colorless oil (57.9 mg, 79% yield, 90% e.e.).

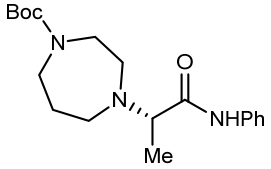
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 17.30 min, t_R (minor) = 22.72 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 7.92 – 7.89 (m, 1H), 7.83 – 7.81 (m, 1H), 7.59 – 7.57 (m, 2H), 7.50 – 7.46 (m, 1H), 7.39 – 7.30 (m, 3H), 7.12 – 7.08 (m, 1H), 3.67 – 3.56 (m, 4H), 3.32 (q, *J* = 7.0 Hz, 1H), 2.91 – 2.77 (m, 4H), 1.39 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 163.7, 152.7, 137.7, 129.0, 127.9, 127.6, 124.02, 124.00, 123.7, 120.6, 119.2, 64.6, 50.5, 49.6, 11.3.

HRMS (ESI) m/z calcd. for $C_{20}H_{23}N_4OS$ $[M + H]^+$ 367.1587, found 367.1588.

tert-Butyl (*S*)-4-(1-oxo-1-(phenylamino)propan-2-yl)-1,4-diazepane-1-carboxylate (40)



40

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl 1,4-diazepane-1-carboxylate **A40** (40.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **40** as a colorless oil (52.1 mg, 75% yield, 93% e.e.).

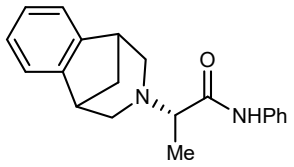
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.25 min, t_R (minor) = 24.08 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.60 – 7.58 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.07 (m, 1H), 3.65 – 3.41 (m, 5H), 2.86 – 2.69 (m, 3H), 2.66 – 2.56 (m, 1H), 1.89 – 1.81 (m, 2H), 1.48 (d, *J* = 5.6 Hz, 9H), 1.31 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 155.6, 155.5, 137.8, 129.01, 128.96, 123.9, 119.2, 119.0, 79.6, 65.7, 65.3, 53.4, 53.2, 52.6, 51.6, 47.4, 46.8, 45.82, 45.78, 28.8, 28.6, 28.4, 9.6.

HRMS (ESI) m/z calcd. for $C_{19}H_{30}N_3O_3$ $[M + H]^+$ 348.2282, found 348.2283.

(2*S*)-*N*-Phenyl-2-(1,2,4,5-tetrahydro-3*H*-1,5-methanobenzo[*d*]azepin-3-yl)propanamide (41)



41

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 2,3,4,5-tetrahydro-1*H*-1,5-methanobenzo[*d*]azepine hydrochloride **A41** (39.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **41** as a colorless oil (51.5 mg, 84% yield, 93% e.e.).

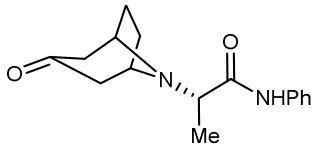
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.88 min, t_R (major) = 13.96 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 7.32 –7.14 (m, 8H), 7.02 – 6.98 (m, 1H), 3.20 – 3.10 (m, 3H), 2.91 – 2.87 (m, 1H), 2.78 – 2.75 (m, 1H), 2.71 – 2.62 (m, 2H), 2.34 – 2.28 (m, 1H), 1.73 (d, *J* = 10.6 Hz, 1H), 1.27 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 146.0, 145.7, 137.8, 128.5, 126.94, 126.91, 123.4, 122.0, 121.8, 119.0, 62.8, 56.3, 50.0, 43.4, 41.2, 40.6, 10.6.

HRMS (ESI) m/z calcd. for $C_{20}H_{23}N_2O$ $[M + H]^+$ 307.1805, found 307.1805.

(2*S*)-2-(3-Oxo-8-azabicyclo[3.2.1]octan-8-yl)-*N*-phenylpropanamide (42)



42

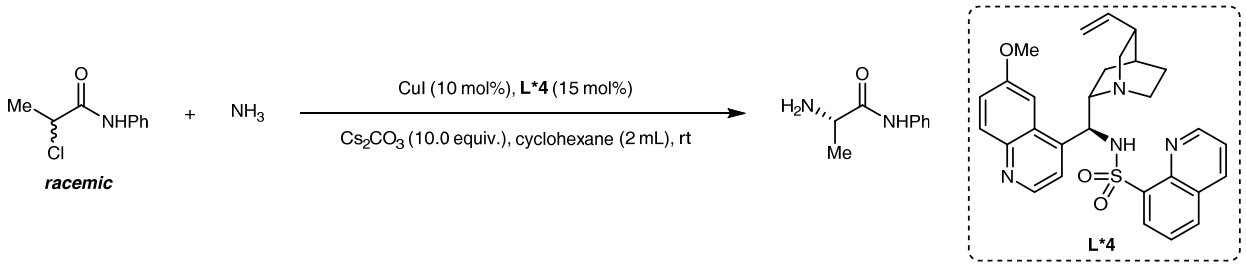
According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), nortropinone hydrochloride **A42** (32.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **42** as a colorless oil (35.9 mg, 66% yield, 94% e.e.).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 21.72 min, t_R (major) = 24.70 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.02 (s, 1H), 7.58 – 7.55 (m, 2H), 7.36 – 7.32 (m, 2H), 7.14 – 7.10 (m, 1H), 3.76 – 3.73 (m, 1H), 3.65 – 3.62 (m, 1H), 3.32 (q, *J* = 6.8 Hz, 1H), 2.80 – 2.74 (m, 1H), 2.63 – 2.58 (m, 1H), 2.33 – 2.32 (m, 1H), 2.29 – 2.28 (m, 1H), 2.20 – 2.10 (m, 1H), 2.04 – 1.94 (m, 1H), 1.78 – 1.72 (m, 1H), 1.68 – 1.61 (m, 1H), 1.46 (d, *J* = 6.9 Hz, 3H).

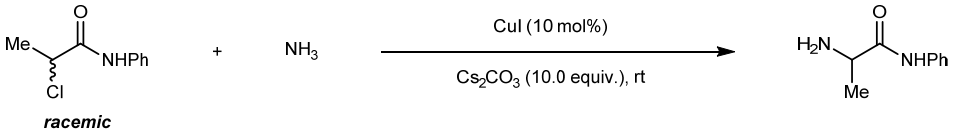
^{13}C NMR (100 MHz, $CDCl_3$) δ 208.5, 172.5, 137.5, 129.0, 124.2, 119.4, 59.6, 58.1, 55.7, 48.2, 47.6, 28.8, 27.3, 18.0.

HRMS (ESI) m/z calcd. for $C_{16}H_{21}N_2O_2$ $[M + H]^+$ 273.1598, found 273.1598.



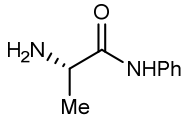
General procedure D:

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (651.6 mg, 2.0 mmol, 10.0 equiv.), and anhydrous cyclohexane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, alkyl chloride (0.20 mmol, 1.0 equiv.), NH_3 (5.0 mL, 2.0 mmol, 10.0 equiv., 0.4 M in 1,4-dioxane) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (651.6 mg, 2.0 mmol, 10.0 equiv.), alkyl chloride (0.20 mmol, 1.0 equiv.), and NH_3 (5.0 mL, 2.0 mmol, 10.0 equiv., 0.4 M in 1,4-dioxane) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by preparative thin-layer chromatography on silica gel to afford the desired product.

(*S*)-2-Amino-*N*-phenylpropanamide (43)

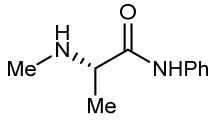


43

According to **General Procedure D** with 2-chloro-*N*-phenylpropanamide **E1** (36.6 mg, 0.20 mmol, 1.0 equiv.), ammonia **A43** (5.0 mL, 2.0 mmol, 10.0 equiv., 0.4 M in 1,4-dioxane) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 10/1) to yield the product **43** as a colorless oil (7.2 mg, 22% yield, 96% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 15.86 min, t_R (minor) = 24.52 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.48 (s, 1H), 7.60 – 7.58 (m, 2H), 7.33 – 7.29 (m, 2H), 7.10 – 7.07 (m, 1H), 3.60 (q, *J* = 7.0 Hz, 1H), 1.76 (s, 2H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.7, 137.8, 128.9, 123.9, 119.3, 51.1, 21.5.

HRMS (ESI) m/z calcd. for $C_9H_{13}N_2O$ $[M + H]^+$ 165.1022, found 165.1022.

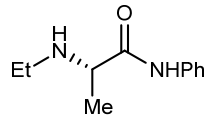
(*S*)-2-(Methylamino)-*N*-phenylpropanamide (44)



44

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), methylamine hydrochloride **A44** (13.4 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 4/1) to yield the product **44** as a colorless oil (21.7 mg, 61% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.36 min, t_R (minor) = 23.77 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.36 (s, 1H), 7.63 – 7.60 (m, 2H), 7.35 – 7.30 (m, 2H), 7.13 – 7.08 (m, 1H), 3.38 (q, *J* = 7.0 Hz, 1H), 2.70 (s, 1H), 2.50 (s, 3H), 1.44 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 137.7, 129.0, 124.2, 119.5, 60.6, 34.9, 19.1.
HRMS (ESI) m/z calcd. for $C_{10}H_{15}N_2O$ $[M + H]^+$ 179.1179, found 179.1178.

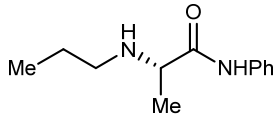
(*S*)-2-(Ethylamino)-*N*-phenylpropanamide (45)



45

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), ethylamine hydrochloride **A45** (16.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 4/1) to yield the product **45** as a colorless oil (27.3 mg, 71% yield, 96% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 16.84 min, t_R (minor) = 22.10 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.50 (s, 1H), 7.62 – 7.59 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 3.40 (q, *J* = 7.0 Hz, 1H), 2.82 – 2.64 (m, 2H), 2.45 (s, 1H), 1.42 (d, *J* = 7.0 Hz, 3H), 1.17 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 137.7, 128.9, 124.0, 119.4, 58.6, 43.0, 19.5, 15.1.
HRMS (ESI) m/z calcd. for $C_{11}H_{17}N_2O$ $[M + H]^+$ 193.1335, found 193.1335.

(*S*)-*N*-Phenyl-2-(propylamino)propanamide (46)

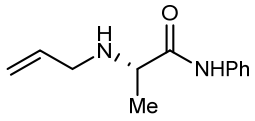


46

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and propan-1-amine **A46** (11.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the

reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **46** as a colorless oil (36.1 mg, 88% yield, 94% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.42 min, t_R (minor) = 11.66 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.57 (s, 1H), 7.63 – 7.59 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.39 (q, *J* = 7.0 Hz, 1H), 2.77 – 2.70 (m, 1H), 2.61 – 2.51 (m, 2H), 1.62 – 1.53 (m, 2H), 1.43 (d, *J* = 7.0 Hz, 3H), 0.97 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 137.8, 129.0, 124.0, 119.3, 58.8, 50.4, 23.0, 19.4, 11.6.
HRMS (ESI) m/z calcd. for $C_{12}H_{19}N_2O$ $[M + H]^+$ 207.1492, found 207.1491.

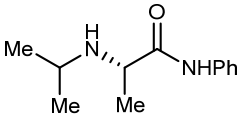
(*S*)-2-(Allylamino)-*N*-phenylpropanamide (47)



47

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and prop-2-en-1-amine **A47** (11.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/3) to yield the product **47** as a colorless oil (26.6 mg, 65% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.17 min, t_R (minor) = 6.99 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.35 (s, 1H), 7.60 – 7.57 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 5.95 – 5.85 (m, 1H), 5.27 – 5.14 (m, 2H), 3.34 – 3.28 (m, 3H), 1,64 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 137.8, 135.7, 129.0, 124.0, 119.4, 116.6, 58.2, 51.0, 19.7.
HRMS (ESI) m/z calcd. For $C_{12}H_{17}N_2O$ $[M + H]^+$ 205.1335, found 205.1334.

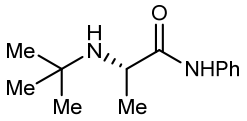
(*S*)-2-(Isopropylamino)-*N*-phenylpropanamide (48)



48

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), propan-2-amine **A48** (11.8 mg, 0.20 mmol, 1.0 equiv.), and **L*4** (15.4 mg, 0.03 mmol, 15 mol%) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **48** as a colorless oil (32.3 mg, 78% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.15 min, t_R (minor) = 19.07 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.59 (s, 1H), 7.60 – 7.57 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.31 (q, *J* = 7.0 Hz, 1H), 2.91 – 2.81 (m, 1H), 1.47 (s, 1H), 1.39 (d, *J* = 7.1 Hz, 3H), 1.12 (d, *J* = 6.2 Hz, 3H), 1.08 (d, *J* = 6.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.9, 137.8, 128.9, 123.9, 119.2, 56.7, 48.7, 23.4, 23.0, 20.3.
HRMS (ESI) m/z calcd. for $C_{12}H_{19}N_2O$ $[M + H]^+$ 207.1492, found 207.1492.

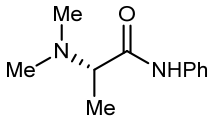
(*S*)-2-(*tert*-Butylamino)-*N*-phenylpropanamide (49)



49

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 2-methylpropan-2-amine **A49** (14.6 mg, 0.20 mmol, 1.0 equiv.), and **L*4** (15.4 mg, 0.03 mmol, 15 mol%) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **49** as a colorless oil (40.7 mg, 92% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.75 min, t_R (minor) = 11.99 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.81 (s, 1H), 7.60 – 7.56 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.06 (m, 1H), 3.37 (q, *J* = 7.1 Hz, 1H), 1.38 (d, *J* = 7.1 Hz, 3H), 1.30 (s, 1H), 1.12 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.6, 137.8, 128.9, 123.8, 119.1, 52.6, 51.4, 29.1, 21.5.
HRMS (ESI) m/z calcd. for $C_{13}H_{21}N_2O$ $[M + H]^+$ 221.1648, found 221.1647.

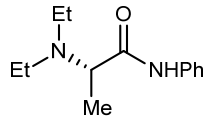
(*S*)-2-(Dimethylamino)-*N*-phenylpropanamide (50)



50

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and dimethylamine hydrochloride **A50** (16.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **50** as a colorless oil (33.2 mg, 86% yield, 88% e.e.).
HPLC analysis: Chiralcel OJH (*n*-hexane/*i*-PrOH = 98/2, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.98 min, t_R (major) = 13.94 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.25 (s, 1H), 7.61 – 7.58 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.19 (q, *J* = 7.0 Hz, 1H), 2.34 (s, 6H), 1.30 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 138.0, 128.9, 123.9, 119.3, 65.0, 42.1, 11.0.
HRMS (ESI) m/z calcd. for $C_{11}H_{17}N_2O$ $[M + H]^+$ 193.1335, found 193.1335.

(*S*)-2-(Diethylamino)-*N*-phenylpropanamide (51)

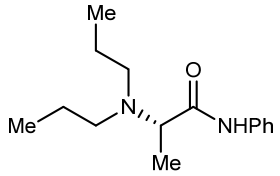


51

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **51** as a colorless oil (35.7 mg, 81% yield, 90% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 97/3, flow rate 1.0 mL/min, λ = 254 nm), t_R

(major) = 9.21 min, t_R (minor) = 10.30 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.58 (s, 1H), 7.58 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.48 (q, *J* = 7.0 Hz, 1H), 2.68 – 2.59 (m, 2H), 2.54 – 2.45 (m, 2H), 1.27 (d, *J* = 7.0 Hz, 3H), 1.11 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 138.0, 129.0, 123.7, 119.0, 59.8, 44.3, 13.6, 9.0.
HRMS (ESI) m/z calcd. for $C_{13}H_{21}N_2O$ $[M + H]^+$ 221.1648, found 221.1647.

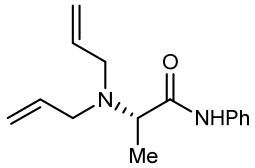
(*S*)-2-(Dipropylamino)-*N*-phenylpropanamide (52)



52

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and dipropylamine **A52** (20.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **52** as a colorless oil (35.8 mg, 72% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.01 min, t_R (minor) = 14.26 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.61 (s, 1H), 7.59 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.47 (q, *J* = 7.0 Hz, 1H), 2.49 – 2.38 (m, 4H), 1.62 – 1.43 (m, 4H), 1.27 (d, *J* = 7.0 Hz, 3H), 0.94 (t, *J* = 7.4 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 138.1, 129.0, 123.6, 118.8, 60.1, 52.5, 21.3, 11.9, 8.2.
HRMS (ESI) m/z calcd. for $C_{15}H_{25}N_2O$ $[M + H]^+$ 249.1961, found 249.1959.

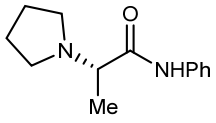
(*S*)-2-(Diallylamino)-*N*-phenylpropanamide (53)



53

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and diallylamine **A53** (19.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **53** as a colorless oil (29.8 mg, 61% yield, 88% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 97/3, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.15 min, t_R (minor) = 11.99 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.42 (s, 1H), 7.57 – 7.53 (m, 2H), 7.34 – 7.29 (m, 2H), 7.11 – 7.06 (m, 1H), 5.91 – 5.81 (m, 2H), 5.30 – 5.20 (m, 4H), 3.61 (q, *J* = 7.0 Hz, 1H), 3.31 – 3.25 (m, 2H), 3.03 – 2.98 (m, 2H), 1.28 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 137.9, 135.3, 129.0, 123.8, 119.1, 117.9, 59.0, 53.3, 8.2.
HRMS (ESI) m/z calcd. for $C_{15}H_{21}N_2O$ $[M + H]^+$ 245.1648, found 245.1647.

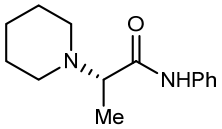
(*S*)-*N*-Phenyl-2-(pyrrolidin-1-yl)propanamide (54)



54

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and pyrrolidine **A54** (14.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **54** as a colorless oil (42.8 mg, 98% yield, 90% e.e.).
HPLC analysis: Chiralcel ADH (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 18.12 min, t_R (minor) = 19.72 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.00 (s, 1H), 7.59 – 7.56 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.07 (q, *J* = 7.0 Hz, 1H), 2.71 – 2.60 (m, 4H), 1.87 – 1.80 (m, 4H), 1.39 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 138.0, 128.9, 123.9, 119.4, 64.3, 51.2, 23.5, 16.6.
HRMS (ESI) *m/z* calcd. for $C_{13}H_{19}N_2O$ $[M + H]^+$ 219.1492, found 219.1495.

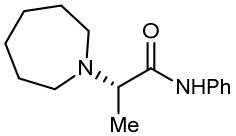
(*S*)-*N*-Phenyl-2-(piperidin-1-yl)propanamide (55)



55

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and piperidine **A55** (17.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **55** as a colorless oil (37.1 mg, 80% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.58 min, t_R (minor) = 18.07 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.49 (s, 1H), 7.59 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.18 (q, *J* = 7.1 Hz, 1H), 2.60 – 2.54 (m, 2H), 2.49 – 2.45 (m, 2H), 1.72 – 1.57 (m, 4H), 1.52 – 1.45 (m, 2H), 1.28 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 138.0, 128.9, 123.7, 119.1, 64.9, 50.9, 26.6, 24.1, 10.4.
HRMS (ESI) m/z calcd. for $C_{14}H_{21}N_2O$ $[M + H]^+$ 233.1648, found 233.1647.

(*S*)-2-(Azepan-1-yl)-*N*-phenylpropanamide (56)

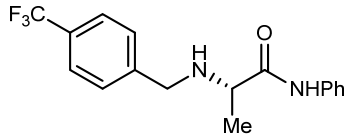


56

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and azepane **A56** (19.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **56** as a colorless oil (40.3 mg, 82% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.44 min, t_R (minor) = 15.03 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.53 (s, 1H), 7.59 – 7.56 (m, 2H), 7.35 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.41 (q, *J* = 6.9 Hz, 1H), 2.75 – 2.62 (m, 4H), 1.78 – 1.66 (m, 8H), 1.30 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 138.0, 129.0, 123.7, 118.9, 65.7, 53.0, 29.3, 26.8, 9.4.
HRMS (ESI) *m/z* calcd. for $C_{15}H_{23}N_2O$ $[M + H]^+$ 247.1805, found 247.1808.

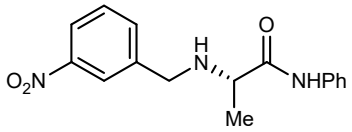
(*S*)-*N*-Phenyl-2-((4-(trifluoromethyl)benzyl)amino)propanamide (57)



57

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (4-(trifluoromethyl)phenyl)methanamine **A57** (35.0 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **57** as a colorless oil (49.6 mg, 77% yield, 95% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.50 min, t_R (minor) = 10.83 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.21 (s, 1H), 7.62 – 7.60 (m, 2H), 7.56 – 7.54 (m, 2H), 7.46– 7.44 (m, 2H), 7.34 – 7.30 (m, 2H), 7.12 – 7.09 (m, 1H), 3.88 (s, 2H), 3.36 (q, *J* = 7.0 Hz, 1H), 1.95 (s, 1H), 1.42 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 143.2, 137.6, 129.8 (q, *J* = 32.2 Hz), 129.0, 128.2, 125.7 (q, *J* = 3.8 Hz), 124.2, 124.0 (q, *J* = 270.4 Hz), 119.3, 58.6, 52.2, 19.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.50 (s, 3F).
HRMS (ESI) m/z calcd. for $C_{17}H_{18}F_3N_2O$ $[M + H]^+$ 323.1366, found 323.1361.

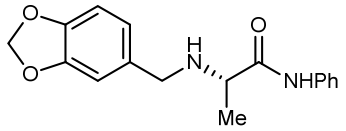
(*S*)-2-((3-Nitrobenzyl)amino)-*N*-phenylpropanamide (58)



58

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), (3-nitrophenyl)methanamine hydrochloride **A58** (37.6 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **58** as a white solid (41.3 mg, 69% yield, 95% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 28.26 min, t_R (minor) = 30.67 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.13 (s, 1H), 8.26 – 8.25 (m, 1H), 8.15 – 8.12 (m, 1H), 7.66 – 7.64 (m, 1H), 7.58 – 7.55 (m, 2H), 7.54 – 7.50 (m, 1H), 7.35 – 7.30 (m, 2H), 7.13 – 7.09 (m, 1H), 3.99 – 3.90 (m, 2H), 3.37 (q, *J* = 7.0 Hz, 1H), 1.88 (s, 1H), 1.45 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 148.5, 141.3, 137.5, 134.1, 129.6, 129.0, 124.2, 122.7, 122.5, 119.3, 58.6, 51.8, 19.6.
HRMS (ESI) m/z calcd. for $C_{16}H_{18}N_3O_3$ $[M + H]^+$ 300.1343, found 300.1339.

(*S*)-2-((Benzo[*d*][1,3]dioxol-5-ylmethyl)amino)-*N*-phenylpropanamide (59)



59

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and benzo[*d*][1,3]dioxol-5-ylmethanamine **A59** (30.2 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **59** as a white solid (49.5 mg, 83% yield, 93% e.e.).

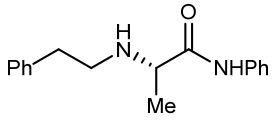
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 19.76 min, t_R (minor) = 34.00 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.58 – 7.56 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.08(m, 1H), 6.81 (s, 1H), 6.76 (s, 2H), 5.93 – 5.91 (m, 2H), 3.72 (s, 2H), 3.36 (q, *J* = 7.0 Hz, 1H), 2.11 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 147.9, 146.9, 137.7, 132.8, 128.9, 124.0, 121.4, 119.3, 108.5, 108.3, 101.0, 58.2, 52.5, 19.6.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}N_2O_3$ $[M + H]^+$ 299.1390, found 299.1387.

(*S*)-2-(Phenethylamino)-*N*-phenylpropanamide (60)



60

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 2-phenylethan-1-amine **A60** (24.2 mg, 0.20 mmol, 1.0 equiv.), anhydrous NMP (2.8 mL) and anhydrous EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **60** as a colorless oil (38.1 mg, 71% yield, 91% e.e.).

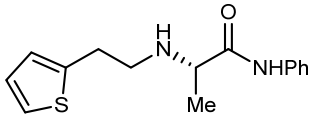
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.96 min, t_R (minor) = 19.20 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.14 (s, 1H), 7.39 – 7.35 (m, 2H), 7.34 – 7.20 (m, 7H), 7.08 – 7.04 (m, 1H), 3.25 (q, *J* = 7.0 Hz, 1H), 3.04 – 2.98 (m, 1H), 2.87 – 2.73 (m, 3H), 1.47 (s, 1H), 1.34 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 139.6, 137.7, 128.762, 128.757, 128.6, 126.3, 123.8, 119.2, 58.7, 49.6, 36.4, 19.6.

HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1647.

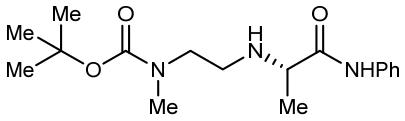
(*S*)-*N*-Phenyl-2-((2-(thiophen-2-yl)ethyl)amino)propenamide (61)



61

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-(thiophen-2-yl)ethan-1-amine **A61** (25.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **61** as a colorless oil (25.0 mg, 46% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.36 min, t_R (minor) = 20.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.47 – 7.44 (m, 2H), 7.31 – 7.26 (m, 2H), 7.19 – 7.18 (m, 1H), 7.09 – 7.05 (m, 1H), 6.98 – 6.96 (m, 1H), 6.87 – 6.85 (m, 1H), 3.28 (q, *J* = 7.0 Hz, 1H), 3.10 – 2.95 (m, 3H), 2.89 – 2.82 (m, 1H), 1.58 (s, 1H), 1.37 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 141.9, 137.7, 128.8, 127.0, 125.4, 123.9, 123.8, 119.3, 58.7, 49.7, 30.5, 19.6.
HRMS (ESI) *m/z* calcd. for $C_{15}H_{19}N_2OS$ $[M + H]^+$ 275.1213, found 275.1208.

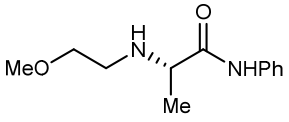
tert-Butyl (*S*)-methyl(2-((1-oxo-1-(phenylamino)propan-2-yl)amino)ethyl)carbamate (62)



62

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl (2-aminoethyl)(methyl)carbamate **A62** (34.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **62** as a colorless oil (48.2 mg, 75% yield, 94% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 24.36 min, t_R (major) = 26.00 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.35 (d, *J* = 40.3 Hz, 1H), 7.64 – 7.60 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.49 – 3.26 (m, 3H), 2.90 (s, 3H), 2.86 – 2.74 (m, 2H), 1.75 (s, 1H), 1.47 (s, 9H), 1.39 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 156.2, 137.8, 128.9, 123.9, 119.2, 79.8, 58.8, 48.4, 46.5, 34.6, 28.4, 19.7.
HRMS (ESI) m/z calcd. For $C_{17}H_{28}N_3O_3$ $[M + H]^+$ 322.2125, found 322.2122.

(*S*)-2-((2-Methoxyethyl)amino)-*N*-phenylpropanamide (63)

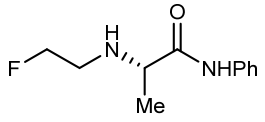


63

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-methoxyethan-1-amine **A63** (15.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel ($EtOAc/CH_3OH$ = 25/1) to yield the product **63** as a colorless oil (33.8 mg, 76% yield, 89% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.88 min, t_R (minor) = 10.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.60 (s, 1H), 7.64 – 7.61 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.57 –3.44 (m, 3H), 3.39 (s, 3H), 3.01 – 2.96 (m, 1H), 2.83 – 2.78 (m, 1H), 2.30 (s, 1H), 1.45 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 137.9, 128.9, 124.0, 119.4, 71.0, 58.9, 58.6, 47.9, 19.4.
HRMS (ESI) m/z calcd. for $C_{12}H_{19}N_2O_2$ $[M + H]^+$ 223.1441, found 223.1439.

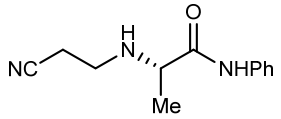
(*S*)-2-((2-Fluoroethyl)amino)-*N*-phenylpropanamide (64)



64

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 2-fluoroethan-1-amine hydrochloride **A64** (19.8 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **64** as a colorless oil (26.9 mg, 64% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.44 min, t_R (minor) = 12.87 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 7.61 – 7.57 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 4.65 – 4.57 (m, 1H), 4.53 – 4.45 (m, 1H), 3.33 (q, *J* = 7.0 Hz, 1H), 3.14 – 3.01 (m, 1H), 2.94 – 2.81 (m, 1H), 1.67 (s, 1H), 1.43 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 137.7, 129.0, 124.1, 119.4, 82.9 (d, *J* = 165.6 Hz), 58.6, 48.5 (d, *J* = 19.3 Hz), 19.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ -223.98 (s, 1F).
HRMS (ESI) m/z calcd. For $C_{11}H_{16}FN_2O$ $[M + H]^+$ 211.1241, found 211.1239.

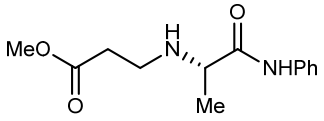
(*S*)-2-((2-Cyanoethyl)amino)-*N*-phenylpropanamide (65)



65

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 3-aminopropanenitrile **A65** (14.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **65** as a colorless oil (21.7 mg, 50% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.89 min, t_R (minor) = 12.51 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.22 (s, 1H), 7.68 – 7.64 (m, 2H), 7.35 – 7.30 (m, 2H), 7.13 – 7.08 (m, 1H), 3.32 (q, *J* = 7.0 Hz, 1H), 3.09 – 3.03 (m, 1H), 2.89 – 2.82 (m, 1H), 2.61 – 2.49 (m, 2H), 1.65 (s, 1H), 1.44 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 137.6, 129.0, 124.2, 119.4, 118.6, 58.5, 43.9, 19.6, 19.2.
HRMS (ESI) m/z calcd. For $C_{12}H_{16}N_3O$ $[M + H]^+$ 218.1288, found 218.1285.

Methyl (*S*)-3-((1-oxo-1-(phenylamino)propan-2-yl)amino)propanoate (66)



66

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), methyl 3-aminopropionate hydrochloride **A66** (27.8 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **66** as a colorless oil (30.3 mg, 61% yield, 92% e.e.).

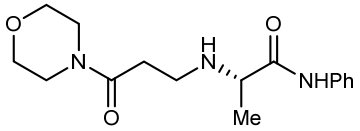
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.18 min, t_R (minor) = 18.50 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.70 – 7.66 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.73 (s, 3H), 3.28 (q, *J* = 7.0 Hz, 1H), 3.00 – 2.86 (m, 2H), 2.59 – 2.47 (m, 2H), 1.65 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 172.9, 138.1, 128.9, 124.0, 119.6, 58.8, 51.8, 43.7, 34.2, 19.8.

HRMS (ESI) m/z calcd. For $C_{13}H_{19}N_2O_3$ $[M + H]^+$ 251.1390, found 251.1387.

(*S*)-2-((3-Morpholino-3-oxopropyl)amino)-*N*-phenylpropanamide (67)



67

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 3-amino-1-morpholinopropan-1-one hydrochloride **A67** (38.8 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **67** as a colorless oil (31.1 mg, 51% yield, 95% e.e.).

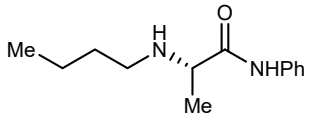
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 70/30, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 36.88 min, t_R (minor) = 42.92 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.71 (s, 1H), 7.73 – 7.70 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.06 (m, 1H), 3.73 – 3.60 (m, 6H), 3.46 – 3.43 (m, 2H), 3.36 (q, *J* = 7.0 Hz, 1H), 3.06 – 3.00 (m, 1H), 2.95 – 2.90 (m, 1H), 2.58 – 2.46 (m, 2H), 2.29 (s, 1H), 1.42 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 170.1, 138.2, 128.8, 123.8, 119.5, 66.8, 66.4, 58.8, 45.6, 43.5, 41.9, 32.4, 19.7.

HRMS (ESI) m/z calcd. for $C_{16}H_{24}N_3O_3$ $[M + H]^+$ 306.1812, found 306.1810.

(*S*)-2-(Butylamino)-*N*-phenylpropanamide (68)

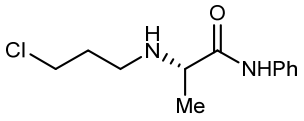


68

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and butan-1-amine **A68** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction

mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **68** as a colorless oil (30.8 mg, 70% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.86 min, t_R (minor) = 6.67 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.70 (s, 1H), 7.64 – 7.61 (m, 2H), 7.34 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.64 – 3.51 (m, 2H), 2.82 – 2.75 (m, 1H), 2.70 – 2.63 (m, 1H), 1.61 – 1.53 (m, 2H), 1.47 (d, *J* = 7.0 Hz, 3H), 1.44 – 1.33 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.8, 128.9, 124.1, 119.4, 58.7, 48.0, 31.4, 20.2, 19.0, 13.8
HRMS (ESI) m/z calcd. For $C_{13}H_{21}N_2O$ $[M + H]^+$ 221.1648, found 221.1646.

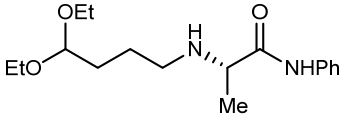
(*S*)-2-((3-Chloropropyl)amino)-*N*-phenylpropanamide (69)



69

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 3-chloropropan-1-amine hydrochloride **A69** (25.8 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **69** as a colorless oil (25.0 mg, 52% yield, 97% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.74 min, t_R (minor) = 8.70 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.62 – 7.58 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 3.74 – 3.63 (m, 2H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.91 – 2.77 (m, 2H), 2.02 – 1.96 (m, 2H), 1.52 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 137.7, 129.0, 124.0, 119.4, 59.1, 45.6, 42.5, 32.5, 19.8.
HRMS (ESI) m/z calcd. For $C_{12}H_{18}ClN_2O$ $[M + H]^+$ 241.1102, found 241.1098.

(*S*)-2-((4,4-Diethoxybutyl)amino)-*N*-phenylpropanamide (70)

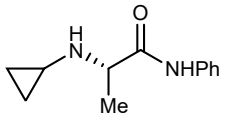


70

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 4,4-diethoxybutan-1-amine **A70** (32.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **70** as a colorless oil (47.6 mg, 77% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.28 min, t_R (minor) = 10.02 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.61 – 7.57 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 4.49 (t, *J* = 5.4 Hz, 1H), 3.69 – 3.61 (m, 2H), 3.53 – 3.44 (m, 2H), 3.25 (q, *J* = 7.0 Hz, 1H), 2.73 (dt, *J* = 11.5, 6.5 Hz, 1H), 2.60 (dt, *J* = 11.7, 7.2 Hz, 1H), 1.76 – 1.58 (m, 5H), 1.38 (d, *J* = 7.0 Hz, 3H), 1.20 (td, *J* = 7.1, 3.3 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 137.8, 128.9, 123.9, 119.2, 102.6, 61.25, 61.21, 59.0, 48.5,

31.3, 25.4, 19.7, 15.3, 15.2.
HRMS (ESI) m/z calcd. for $C_{17}H_{29}N_2O_3$ $[M + H]^+$ 309.2173, found 309.2171.

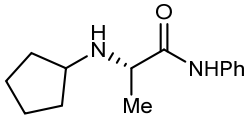
(*S*)-2-(Cyclopropylamino)-*N*-phenylpropanamide (71)



71

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and cyclopropanamine **A71** (11.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **71** as a colorless oil (26.1 mg, 64% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.11 min, t_R (minor) = 7.10 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.10 (s, 1H), 7.58 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 3.44 (q, *J* = 7.0 Hz, 1H), 2.30 – 2.25 (m, 1H), 1.96 (s, 1H), 1.42 (d, *J* = 7.0 Hz, 3H), 0.59 – 0.38 (m, 4H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.4, 137.7, 129.0, 124.0, 119.3, 59.2, 30.2, 19.4, 6.5, 6.2.
HRMS (ESI) m/z calcd. for $C_{12}H_{17}N_2O$ $[M + H]^+$ 205.1335, found 205.1333.

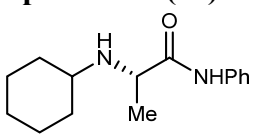
(*S*)-2-(Cyclopentylamino)-*N*-phenylpropanamide (72)



72

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), cyclopentanamine **A72** (17.0 mg, 0.20 mmol, 1.0 equiv.), and **L*2** (14.8 mg, 0.03 mmol, 15 mol%) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **72** as a colorless oil (37.4 mg, 81% yield, 95% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 22.18 min, t_R (minor) = 26.53 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.61 – 7.58 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.30 (q, *J* = 7.0 Hz, 1H), 3.17 – 3.11 (m, 1H), 1.95 – 1.87 (m, 1H), 1.83 – 1.64 (m, 3H), 1.63 – 1.49 (m, 2H), 1.42 – 1.29 (m, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.8, 137.8, 128.9, 123.8, 119.2, 59.4, 57.7, 33.2, 33.0, 23.6, 23.5, 20.1.
HRMS (ESI) m/z calcd. for $C_{14}H_{21}N_2O$ $[M + H]^+$ 233.1648, found 233.1646.

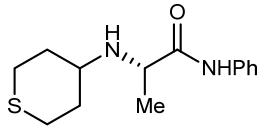
(*S*)-2-(Cyclohexylamino)-*N*-phenylpropanamide (73)



73

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), cyclohexanamine **A73** (19.8 mg, 0.20 mmol, 1.0 equiv.), **L*2** (14.8 mg, 0.03 mmol, 15 mol%), anhydrous NMP (2.8 mL) and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **73** as a colorless oil (26.8 mg, 54% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.64 min, t_R (minor) = 14.01 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 7.61 – 7.59 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.07 (m, 1H), 3.35 (q, *J* = 7.0 Hz, 1H), 2.49 – 2.42 (m, 1H), 1.96 – 1.92 (m, 1H), 1.89 – 1.84 (m, 1H), 1.76 – 1.68 (m, 2H), 1.63 – 1.59 (m, 1H), 1.51 (s, 1H), 1.38 (d, *J* = 7.0 Hz, 3H), 1.31 – 1.24 (m, 2H), 1.17 – 1.04 (m, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.0, 137.9, 129.0, 123.8, 119.2, 56.6, 56.5, 34.3, 33.8, 25.8, 24.983, 24.979, 20.4.
HRMS (ESI) m/z calcd. for $C_{15}H_{23}N_2O$ $[M + H]^+$ 247.1805, found 247.1804.

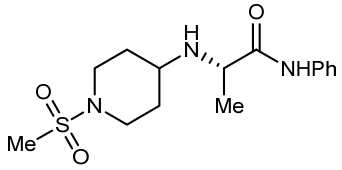
(*S*)-*N*-Phenyl-2-((tetrahydro-2*H*-thiopyran-4-yl)amino)propanamide (74)



74

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), tetrahydro-2*H*-thiopyran-4-amine hydrochloride **A74** (30.6 mg, 0.20 mmol, 1.0 equiv.), **L*2** (14.8 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **74** as a yellowish oil (23.9 mg, 45% yield, 95% e.e.).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.57 min, t_R (minor) = 15.67 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.44 (s, 1H), 7.60 – 7.56 (m, 2H), 7.36 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.35 (q, *J* = 7.0 Hz, 1H), 2.67 – 2.62 (m, 4H), 2.51 – 2.43 (m, 1H), 2.27 – 2.13 (m, 2H), 1.58 – 1.48 (m, 2H), 1.43 – 1.38 (m, 4H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.6, 137.6, 129.0, 124.0, 119.2, 56.4, 56.0, 35.4, 34.9, 27.7, 20.4.
HRMS (ESI) m/z calcd. for $C_{14}H_{21}N_2OS$ $[M + H]^+$ 265.1369, found 265.1368.

(*S*)-2-((1-(Methylsulfonyl)piperidin-4-yl)amino)-*N*-phenylpropanamide (75)

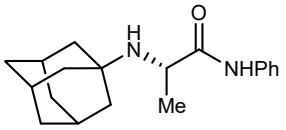


75

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 1-(methylsulfonyl)piperidin-4-amine **A75** (35.6 mg, 0.20 mmol, 1.0 equiv.), **L*2** (14.8 mg, 0.03 mmol, 15 mol%), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h,

the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **75** as a yellowish oil (22.7 mg, 35% yield, 96% e.e.).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.75 min, t_R (minor) = 23.72 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.30 (s, 1H), 7.59 – 7.56 (m, 2H), 7.36 – 7.31 (m, 2H), 7.13 – 7.09 (m, 1H), 3.82 – 3.72 (m, 2H), 3.37 (q, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 2.73 – 2.66 (m, 2H), 2.63 – 2.56 (m, 1H), 2.08 – 2.02 (m, 1H), 1.97 – 1.91 (m, 1H), 1.57 – 1.46 (m, 3H), 1.40 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 137.5, 129.0, 124.1, 119.2, 56.6, 54.1, 44.92, 44.89, 34.8, 32.8, 32.2, 20.3.
HRMS (ESI) m/z calcd. for $C_{15}H_{24}N_3O_3S$ $[M + H]^+$ 326.1533, found 326.1533.

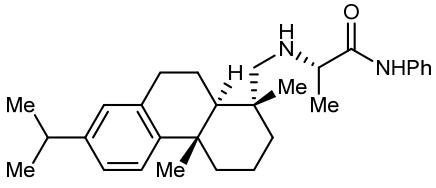
(*S*)-2-(Adamantan-1-ylamino)-*N*-phenylpropanamide (76)



76

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), amantadine **A76** (30.2 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **76** as a colorless oil (50.0 mg, 84% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.50 min, t_R (minor) = 16.23 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.85 (s, 1H), 7.61 – 7.58 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.06 (m, 1H), 3.51 (q, *J* = 7.1 Hz, 1H), 2.07 – 2.04 (m, 3H), 1.71 – 1.63 (m, 6H), 1.60 – 1.54 (m, 6H), 1.36 (d, *J* = 7.1 Hz, 3H), 1.30 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.8, 137.8, 128.9, 123.8, 119.0, 51.5, 50.5, 42.9, 36.4, 29.3, 21.6.
HRMS (ESI) m/z calcd. for $C_{19}H_{27}N_2O$ $[M + H]^+$ 299.2118, found 299.2115.

(*S*)-2-((((1*R*,4a*S*,10a*R*)-7-Isopropyl-1,4a-dimethyl-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)methyl)amino)-*N*-phenylpropanamide (77)

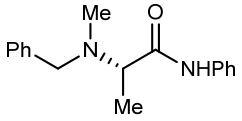


77

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), dehydroabietylamine **A77** (57.0 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **77** as a white solid (61.6 mg, 71% yield, >20:1 d.r.). The diastereomeric ratio

was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.25 (s, 1H), 7.54 – 7.50 (m, 2H), 7.34 – 7.29 (m, 2H), 7.19 – 7.17 (m, 1H), 7.11 – 7.07 (m, 1H), 7.02 – 6.99 (m, 1H), 6.88 (d, *J* = 2.0 Hz, 1H), 3.19 (q, *J* = 7.0 Hz, 1H), 2.95 – 2.78 (m, 3H), 2.54 – 2.43 (m, 2H), 2.34 – 2.29 (m, 1H), 1.88 – 1.69 (m, 5H), 1.58 – 1.53 (m, 1H), 1.46 – 1.33 (m, 5H), 1.24 (s, 3H), 1.22 (s, 6H), 0.95 (s, 3H), 0.90 – 0.79 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 147.4, 145.7, 137.8, 134.4, 129.0, 126.8, 124.0, 123.94, 123.88, 119.2, 60.5, 59.8, 45.2, 38.5, 37.4, 36.9, 36.7, 33.4, 29.9, 25.1, 24.0, 19.7, 19.4, 18.9, 18.7.
HRMS (ESI) m/z calcd. for $C_{29}H_{41}N_2O$ $[M + H]^+$ 433.3213, found 433.3213.

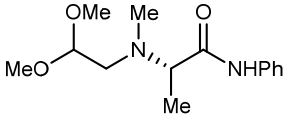
(*S*)-2-(Benzyl(methyl)amino)-*N*-phenylpropanamide (78)



78

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *N*-methyl-1-benzylamine **A78** (24.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **78** as a colorless oil (46.3 mg, 86% yield, 91% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.04 min, t_R (minor) = 10.95 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.58 – 7.56 (m, 2H), 7.39 – 7.27 (m, 7H), 7.11 – 7.07 (m, 1H), 3.70 – 3.56 (m, 2H), 3.41 (q, *J* = 7.0 Hz, 1H), 2.28 (s, 3H), 1.34 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 138.3, 138.0, 129.0, 128.65, 128.62, 127.5, 123.9, 119.2, 62.6, 59.1, 38.0, 8.9.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1651.

(*S*)-2-((2,2-Dimethoxyethyl)(methyl)amino)-*N*-phenylpropanamide (79)

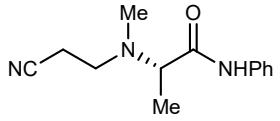


79

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2,2-dimethoxy-*N*-methylethan-1-amine **A79** (23.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **79** as a colorless oil (30.7 mg, 58% yield, 91% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.88 min, t_R (minor) = 11.57 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.63 (s, 1H), 7.62 – 7.59 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.06 (m, 1H), 4.56 – 4.53 (m, 1H), 3.44 (s, 3H), 3.39 – 3.34 (m, 4H), 2.67 – 2.62 (m, 1H), 2.57 – 2.52 (m, 1H), 2.42 (s, 3H), 1.30 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 138.3, 128.8, 123.7, 119.3, 102.6, 64.2, 55.4, 54.2, 53.6, 40.1, 9.4.

HRMS (ESI) *m/z* calcd. for $C_{14}H_{23}N_2O_3$ $[M + H]^+$ 267.1703, found 267.1707.

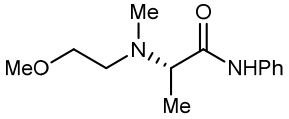
(*S*)-2-((2-Cyanoethyl)(methyl)amino)-*N*-phenylpropanamide (80)



80

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 3-(methylamino)propanenitrile **A80** (16.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **80** as a colorless oil (23.6 mg, 51% yield, 93% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 22.25 min, t_R (minor) = 29.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.26 (s, 1H), 7.69 – 7.65 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.06 (m, 1H), 3.41 (q, *J* = 7.0 Hz, 1H), 2.83 – 2.73 (m, 2H), 2.61 (t, *J* = 6.4 Hz, 2H), 2.36 (s, 3H), 1.32 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 137.9, 128.9, 123.9, 119.3, 118.5, 63.8, 49.5, 37.4, 17.4, 9.4.
HRMS (ESI) m/z calcd. for $C_{13}H_{18}N_3O$ $[M + H]^+$ 232.1444, found 232.1445.

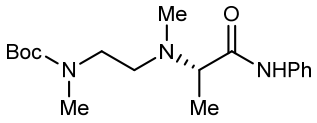
(*S*)-2-((2-Methoxyethyl)(methyl)amino)-*N*-phenylpropanamide (81)



81

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2-methoxy-*N*-methylethan-1-amine **A81** (17.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **81** as a colorless oil (24.6 mg, 52% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.55 min, t_R (minor) = 9.38 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.69 (s, 1H), 7.63 – 7.61 (m, 2H), 7.33 – 7.29 (m, 2H), 7.09 – 7.05 (m, 1H), 3.58 – 3.52 (m, 1H), 3.49 – 3.45 (m, 1H), 3.41 (s, 3H), 3.37 (q, *J* = 7.0 Hz, 1H), 2.74 – 2.68 (m, 1H), 2.57 – 2.51 (m, 1H), 2.40 (s, 3H), 1.31 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 138.4, 128.8, 123.6, 119.2, 69.8, 63.9, 58.9, 53.2, 39.0, 9.6.
HRMS (ESI) m/z calcd. for $C_{13}H_{21}N_2O_2$ $[M + H]^+$ 237.1598, found 237.1598.

***tert*-Butyl (*S*)-methyl(2-(methyl(1-oxo-1-(phenylamino)propan-2-yl)amino)ethyl)carbamate (82)**



82

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl methyl(2-(methylamino)ethyl)carbamate **A82** (37.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **82** as a colorless oil (36.9 mg, 55% yield, 91% e.e.).

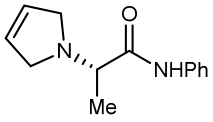
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH =80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 9.36 min, t_R (major) = 11.74 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.27 (d, *J* = 93.2 Hz, 1H), 7.63 – 7.61 (m, 2H), 7.33 – 7.29 (m, 2H), 7.10 – 7.05 (m, 1H), 3.45 – 3.32 (m, 3H), 2.87 (s, 3H), 2.66 – 2.53 (m, 2H), 2.36 (s, 3H), 1.43 (s, 9H), 1.29 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 156.0, 138.0, 128.8, 123.8, 119.2, 79.7, 64.0, 52.0, 46.7, 38.4, 34.4, 28.3, 9.2.

HRMS (ESI) *m/z* calcd. for $C_{18}H_{30}N_3O_3$ $[M + H]^+$ 336.2282, found 336.2285.

(*S*)-2-(2,5-Dihydro-1*H*-pyrrol-1-yl)-*N*-phenylpropanamide (83)



83

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 2,5-dihydro-1*H*-pyrrole **A83** (13.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **83** as a colorless oil (33.7 mg, 78% yield, 93% e.e.).

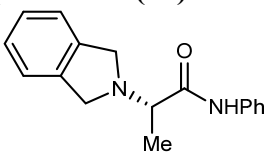
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.69 min, t_R (minor) = 10.00 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.95 (s, 1H), 7.60 – 7.58 (m, 2H), 7.34 – 7.30 (m, 2H), 7.12 – 7.07 (m, 1H), 5.83 (s, 2H), 3.72 – 3.64 (m, 2H), 3.58 – 3.51 (m, 2H), 3.36 (q, *J* = 6.9 Hz, 1H), 1.42 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.9, 128.9, 127.1, 124.1, 119.5, 63.8, 57.1, 16.1.

HRMS (ESI) *m/z* calcd. for $C_{13}H_{17}N_2O$ $[M + H]^+$ 217.1335, found 217.1339.

(*S*)-2-(Isoindolin-2-yl)-*N*-phenylpropanamide (84)

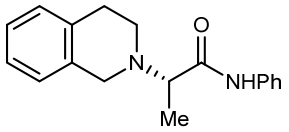


84

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), isoindoline hydrochloride **A84** (31.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column

chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **84** as a colorless oil (41.0 mg, 77% yield, 96% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.64 min, t_R (minor) = 16.52 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (s, 1H), 7.60 – 7.56 (m, 2H), 7.34 – 7.29 (m, 2H), 7.27 – 7.22 (m, 4H), 7.12 – 7.07 (m, 1H), 4.15 – 4.10 (m, 2H), 4.03 – 3.99 (m, 2H), 3.43 (q, *J* = 6.9 Hz, 1H), 1.50 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 139.2, 137.9, 129.0, 127.1, 124.0, 122.4, 119.4, 64.1, 56.4, 16.5.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{19}N_2O$ $[M + H]^+$ 267.1492, found 267.1494.

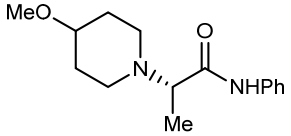
(*S*)-2-(3,4-Dihydroisoquinolin-2(1*H*)-yl)-*N*-phenylpropanamide (85)



85

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1,2,3,4-tetrahydroisoquinoline **A85** (26.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **85** as a colorless oil (43.9 mg, 78% yield, 90% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.54 min, t_R (minor) = 11.22 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.56 – 7.53 (m, 2H), 7.33 – 7.28 (m, 2H), 7.21 – 7.14 (m, 3H), 7.10 – 7.05 (m, 2H), 3.94 – 3.90 (m, 1H), 3.78 – 3.75 (m, 1H), 3.42 (q, *J* = 7.0 Hz, 1H), 2.98 – 2.95 (m, 2H), 2.89 – 2.77 (m, 2H), 1.42 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.8, 134.3, 133.8, 128.9, 128.8, 126.6, 126.4, 125.9, 123.9, 119.3, 64.2, 52.6, 47.6, 29.7, 11.1.
HRMS (ESI) *m/z* calcd. for $C_{18}H_{21}N_2O$ $[M + H]^+$ 281.1648, found 281.1652.

(*S*)-2-(4-Methoxypiperidin-1-yl)-*N*-phenylpropanamide (86)

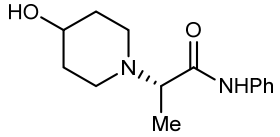


86

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 4-methoxypiperidine **A86** (23.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **86** as a colorless oil (48.0 mg, 92% yield, 94% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 19.52 min, t_R (major) = 21.49 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.58 – 7.55 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.36 (s, 3H), 3.30 – 3.23 (m, 2H), 2.84 – 2.76 (m, 2H), 2.51 – 2.45 (m, 1H), 2.36 – 2.31 (m, 1H), 2.04 – 1.96 (m, 2H), 1.73 – 1.58 (m, 2H), 1.31 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 137.9, 129.0, 123.9, 119.1, 75.6, 64.4, 55.6, 48.6, 46.3, 31.4, 31.3, 10.9.
HRMS (ESI) *m/z* calcd. for $C_{15}H_{23}N_2O_2$ $[M + H]^+$ 263.1754, found 263.1757.

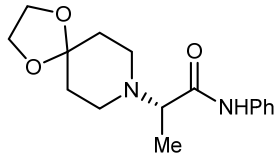
(*S*)-2-(4-Hydroxypiperidin-1-yl)-*N*-phenylpropanamide (87)



87

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and piperidin-4-ol **A87** (20.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **87** as a colorless oil (28.4 mg, 57% yield, 92% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 33.62 min, t_R (major) = 38.13 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 7.58 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 3.81 – 3.73 (m, 1H), 3.27 (q, *J* = 7.0 Hz, 1H), 2.86 – 2.79 (m, 2H), 2.54 – 2.48 (m, 1H), 2.38 – 2.32 (m, 1H), 2.04 – 1.94 (m, 3H), 1.74 – 1.57 (m, 2H), 1.31 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 137.8, 129.0, 124.0, 119.2, 67.2, 64.4, 48.8, 46.1, 34.9, 34.7, 10.9.
HRMS (ESI) *m/z* calcd. for $C_{14}H_{21}N_2O_2$ $[M + H]^+$ 249.1598, found 249.1601.

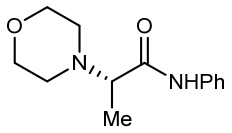
(*S*)-*N*-Phenyl-2-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)propanamide (88)



88

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1,4-dioxa-8-azaspiro[4.5]decane **A88** (28.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **88** as a colorless oil (52.6 mg, 91% yield, 93% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.76 min, t_R (minor) = 23.33 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.58 – 7.54 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.97 (s, 4H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.75 – 2.60 (m, 4H), 1.87 – 1.75 (m, 4H), 1.31 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.9, 129.0, 123.8, 119.1, 106.5, 64.3, 64.1, 47.9, 35.4, 10.8.
HRMS (ESI) *m/z* calcd. for $C_{16}H_{23}N_2O_3$ $[M + H]^+$ 291.1703, found 291.1706.

(*S*)-2-Morpholino-*N*-phenylpropanamide (89)



89

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **89** as a colorless oil (46.3 mg, 99% yield, 93% e.e.).

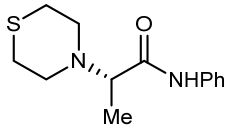
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.55 min, t_R (minor) = 16.13 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.18 (s, 1H), 7.57 – 7.54 (m, 2H), 7.35 – 7.31 (m, 2H), 7.13 – 7.08 (m, 1H), 3.83 – 3.74 (m, 4H), 3.17 (q, *J* = 7.1 Hz, 1H), 2.67 – 2.54 (m, 4H), 1.33 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 137.7, 129.0, 124.1, 119.2, 67.2, 65.0, 50.3, 11.7.

HRMS (ESI) *m/z* calcd. for $C_{13}H_{19}N_2O_2$ $[M + H]^+$ 235.1441, found 235.1444.

(*S*)-*N*-Phenyl-2-thiomorpholinopropanamide (90)



90

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and thiomorpholine **A90** (20.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **90** as a colorless oil (33.1 mg, 66% yield, 92% e.e.).

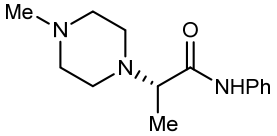
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 15.23 min, t_R (minor) = 16.54 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.23 (s, 1H), 7.57 – 7.54 (m, 2H), 7.36 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.93 – 2.88 (m, 2H), 2.84 – 2.69 (m, 6H), 1.30 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 137.7, 129.0, 124.0, 119.1, 65.5, 51.9, 28.6, 9.5.

HRMS (ESI) *m/z* calcd. for $C_{13}H_{19}N_2OS$ $[M + H]^+$ 251.1213, found 251.1217

(*S*)-2-(4-Methylpiperazin-1-yl)-*N*-phenylpropanamide (91)

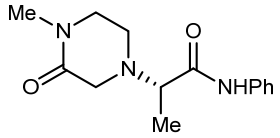


91

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1-methylpiperazine **A91** (20.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **91** as a colorless oil (48.0 mg, 97% yield, 95% e.e.).

HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH =90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 7.93 min, t_R (major) = 9.13 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.59 – 7.56 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.24 (q, *J* = 7.0 Hz, 1H), 2.78 – 2.57 (m, 8H), 2.41 (s, 3H), 1.32 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 137.8, 128.9, 124.0, 119.2, 64.2, 55.2, 49.1, 45.4, 11.5.
HRMS (ESI) *m/z* calcd. for $C_{14}H_{22}N_3O$ $[M + H]^+$ 248.1757, found 248.1761.

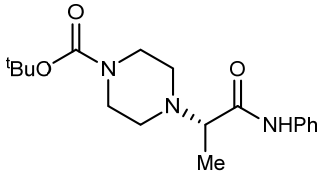
(*S*)-2-(4-Methyl-3-oxopiperazin-1-yl)-*N*-phenylpropanamide (92)



92

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1-methylpiperazin-2-one **A92** (22.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **92** as a colorless oil (28.2 mg, 54% yield, 90% e.e.).
HPLC analysis: Chiralcel AD3 (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 8.89 min, t_R (major) = 9.49 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.88 (s, 1H), 7.56 – 7.54 (m, 2H), 7.35 – 7.31 (m, 2H), 7.14 – 7.09 (m, 1H), 3.47 – 3.32 (m, 3H), 3.28 – 3.23 (m, 2H), 3.00 (s, 3H), 2.85 – 2.75 (m, 2H), 1.35 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.7, 166.4, 137.5, 129.0, 124.3, 119.4, 63.7, 54.1, 48.7, 46.8, 33.8, 11.6.
HRMS (ESI) m/z calcd. for $C_{14}H_{20}N_3O_2$ $[M + H]^+$ 262.1550, found 262.1550.

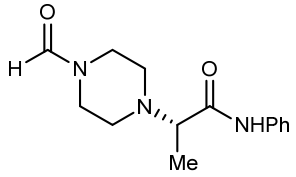
***tert*-Butyl (*S*)-4-(1-oxo-1-(phenylamino)propan-2-yl)piperazine-1-carboxylate (93)**



93

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and *tert*-butyl piperazine-1-carboxylate **A93** (37.2 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **93** as a colorless oil (54.7 mg, 82% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.89 min, t_R (minor) =28.83 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (s, 1H), 7.57 – 7.54 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 3.57 – 3.45 (m, 4H), 3.24 (q, *J* = 7.0 Hz, 1H), 2.62 – 2.57 (m, 2H), 2.54 – 2.48 (m, 2H), 1.47 (s, 9H), 1.31 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 154.6, 137.7, 129.0, 124.0, 119.2, 79.9, 64.6, 49.6, 44.0, 28.3, 11.2.
HRMS (ESI) m/z calcd. for $C_{18}H_{28}N_3O_3$ $[M + H]^+$ 334.2125, found 334.2125.

(*S*)-2-(4-Formylpiperazin-1-yl)-*N*-phenylpropanamide (94)



94

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and piperazine-1-carbaldehyde **A94** (22.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **94** as a colorless oil (29.8 mg, 57% yield, 93% e.e.).

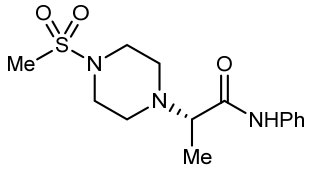
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 18.21 min, t_R (minor) = 29.07 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.06 (s, 1H), 8.06 (s, 1H), 7.57 – 7.55 (m, 2H), 7.36 – 7.32 (m, 2H), 7.14 – 7.10 (m, 1H), 3.70 – 3.60 (m, 2H), 3.53 – 3.42 (m, 2H), 3.29 (q, *J* = 7.0 Hz, 1H), 2.69 – 2.52 (m, 4H), 1.33 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 160.7, 137.6, 129.1, 124.3, 119.3, 64.7, 50.3, 49.2, 45.8, 40.2, 11.1.

HRMS (ESI) *m/z* calcd. for $C_{14}H_{20}N_3O_2$ $[M + H]^+$ 262.1550, found 262.1553.

(*S*)-2-(4-(Methylsulfonyl)piperazin-1-yl)-*N*-phenylpropanamide (95)



95

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and 1-(methylsulfonyl)piperazine **A95** (32.8 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **95** as a colorless oil (46.7 mg, 75% yield, 93% e.e.).

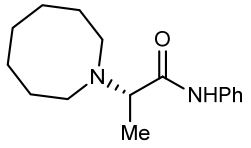
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 50/50, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.94 min, t_R (minor) = 44.43 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.98 (s, 1H), 7.56 – 7.53 (m, 2H), 7.36 – 7.31 (m, 2H), 7.13 – 7.09 (m, 1H), 3.37 – 3.26 (m, 5H), 2.83 (s, 3H), 2.77 – 2.72 (m, 2H), 2.69 – 2.64 (m, 2H), 1.33 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 137.5, 129.0, 124.2, 119.3, 64.4, 49.2, 46.0, 34.9, 11.2.

HRMS (ESI) m/z calcd. for $C_{14}H_{22}N_3O_3S$ $[M + H]^+$ 312.1376, found 312.1375.

(*S*)-2-(Azocan-1-yl)-*N*-phenylpropanamide (96)



96

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and azocane **A96** (22.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **96** as a colorless oil (37.0 mg, 71% yield, 94% e.e.).

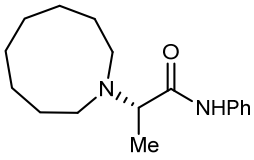
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.72 min, t_R (minor) = 11.96 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.46 (s, 1H), 7.60 – 7.58 (m, 2H), 7.35 – 7.31 (m, 2H), 7.10 – 7.07 (m, 1H), 3.40 (q, J = 7.0 Hz, 1H), 2.65 – 2.62 (m, 4H), 1.78 –1.61 (m, 10H), 1.30 (d, J = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 138.1, 129.0, 123.7, 118.8, 65.5, 51.3, 28.0, 27.9, 25.7, 9.7.

HRMS (ESI) m/z calcd. for $C_{16}H_{25}N_2O$ $[M + H]^+$ 261.1961, found 261.1962.

(*S*)-2-(Azonan-1-yl)-*N*-phenylpropanamide (97)



97

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and azonane **A97** (25.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **97** as a colorless oil (31.0 mg, 57% yield, 95% e.e.).

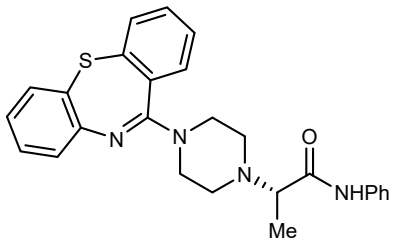
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.75 min, t_R (minor) = 10.23 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 7.60 – 7.58 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.06 (m, 1H), 3.39 (q, J = 6.9 Hz, 1H), 2.62 – 2.52 (m, 4H), 1.73 – 1.47 (m, 12H), 1.31 (d, J = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 138.1, 129.0, 123.7, 118.7, 63.7, 49.4, 26.1, 25.3, 22.6, 9.1.

HRMS (ESI) *m/z* calcd. for $C_{17}H_{27}N_2O$ $[M + H]^+$ 275.2118, found 275.2123.

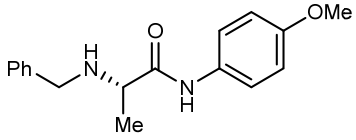
(*S*)-2-(4-(Dibenzo[*b*,*f*][1,4]thiazepin-11-yl)piperazin-1-yl)-*N*-phenylpropanamide (98)



98

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), 11-(piperazin-1-yl)dibenzo[*b*,*f*][1,4]thiazepine dihydrochloride **A98** (73.4 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (325.8 mg, 1.00 mmol, 5.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **98** as a white solid (75.2 mg, 85% yield, 93% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 15.86 min, t_R (major) = 18.63 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.26 (s, 1H), 7.57 – 7.50 (m, 3H), 7.41 – 7.39 (m, 1H), 7.35 – 7.27 (m, 5H), 7.20 – 7.16 (m, 1H), 7.10 – 7.06 (m, 2H), 6.92 – 6.88 (m, 1H), 3.69 – 3.42 (m, 4H), 3.26 (q, *J* = 7.0 Hz, 1H), 2.75 – 2.56 (m, 4H), 1.34 (dd, *J* = 7.0, 3.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 171.4, 160.9, 148.5, 139.9, 137.7, 133.89, 133.87, 132.1, 130.9, 129.1, 129.0, 128.90, 128.88, 128.3, 127.9, 125.3, 124.0, 123.1, 119.19, 119.18, 64.52, 64.49, 49.6, 47.1, 11.3, 11.2.
HRMS (ESI) m/z calcd. for $C_{26}H_{27}N_4OS$ $[M + H]^+$ 443.1900, found 443.1901.

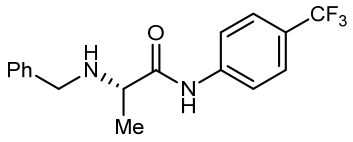
(*S*)-2-(Benzylamino)-*N*-(4-methoxyphenyl)propanamide (99)



99

According to **General Procedure A** with 2-chloro-*N*-(4-methoxyphenyl)propanamide **E2** (63.9 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **99** as a white solid (54.5 mg, 96% yield, 93% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 21.65 min, t_R (major) = 23.65 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.30 (s, 1H), 7.50 – 7.46 (m, 2H), 7.37 – 7.25 (m, 5H), 6.88 – 6.84 (m, 2H), 3.81 (s, 2H), 3.78 (s, 3H), 3.38 (q, *J* = 7.0 Hz, 1H), 2.20 (s, 1H), 1.40 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 156.1, 138.9, 130.9, 128.6, 128.0, 127.4, 121.0 114.0, 58.3, 55.4, 52.6, 19.6.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{21}N_2O_2$ $[M + H]^+$ 285.1598, found 285.1592.

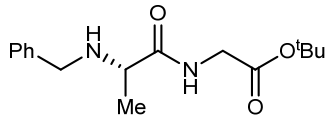
(*S*)-2-(Benzylamino)-*N*-(4-(trifluoromethyl)phenyl)propanamide (100)



100

According to **General Procedure A** with 2-chloro-*N*-(4-(trifluoromethyl)phenyl)propanamide **E3** (75.3 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **100** as a colorless oil (43.6 mg, 68% yield, 90% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 11.29 min, t_R (major) = 12.28 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.63 (s, 1H), 7.70 – 7.68 (m, 2H), 7.58 – 7.56 (m, 2H), 7.38 – 7.27 (m, 5H), 3.87 – 3.79 (m, 2H), 3.42 (q, *J* = 7.0 Hz, 1H), 2.09 (s, 1H), 1.42 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 140.7 (d, *J* = 1.2 Hz), 138.7, 128.8, 128.0, 127.6, 126.2 (q, *J* = 3.8 Hz), 125.8 (q, *J* = 31.6 Hz), 124.1 (q, *J* = 269.8 Hz), 118.9, 58.4, 52.8, 19.5.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.02 (s, 3F).
HRMS (ESI) *m/z* calcd. for $C_{17}H_{18}F_3N_2O$ $[M + H]^+$ 323.1366, found 323.1361.

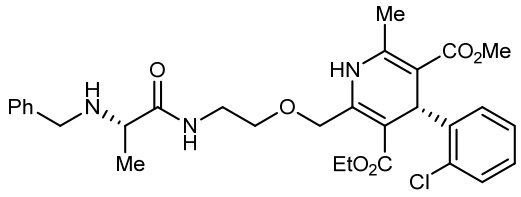
***tert*-Butyl benzyl-*L*-alanylglycinate (101)**



101

According to **General Procedure A** with *tert*-butyl (2-chloropropanoyl)glycinate **E4** (66.3 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 15/1) to yield the product **101** as a colorless oil (42.7 mg, 73% yield, 92% e.e.).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 97/3, flow rate 0.8 mL/min, λ = 214 nm), t_R (major) = 33.21 min, t_R (minor) = 40.35 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.35 – 7.32 (m, 4H), 7.30 – 7.24 (m, 1H), 4.01 – 3.87 (m, 2H), 3.86 – 3.71 (m, 2H), 3.28 (q, *J* = 6.9 Hz, 1H), 1.78 (s, 1H), 1.48 (s, 9H), 1.33 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 175.0, 168.9, 139.5, 128.5, 128.1, 127.2, 82.0, 57.6, 52.5, 41.5, 28.0, 19.7.
HRMS (ESI) m/z calcd. for $C_{16}H_{25}N_2O_3$ $[M + H]^+$ 293.1860, found 293.1854.

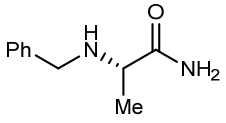
3-Ethyl 5-methyl (*S*)-2-((2-((*S*)-2-(benzylamino)propanamido)ethoxy)methyl)-4-(2-chlorophenyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate (102)



102

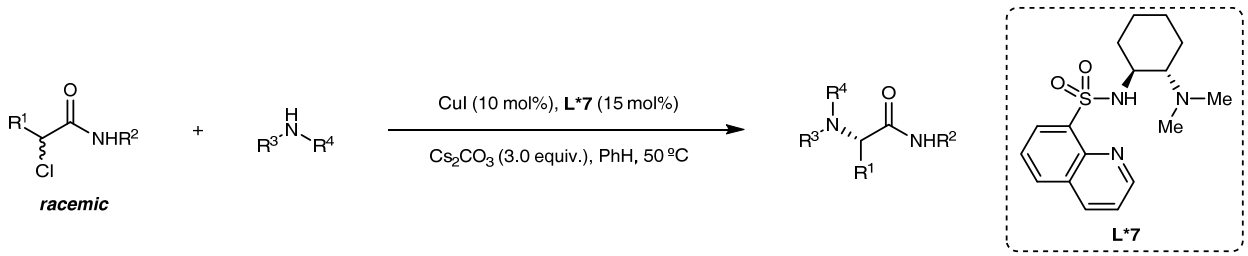
According to **General Procedure A** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (149.4 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **102** as a yellowish oil (95.8 mg, 84% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
According to **General Procedure A** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (99.6 mg, 0.20 mmol, 1.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **102** as a yellowish oil (78.2 mg, 69% yield, 18:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 – 7.61 (m, 1H), 7.38 – 7.26 (m, 7H), 7.23 – 7.21 (m, 1H), 7.10 – 7.06 (m, 1H), 7.04 – 7.00 (m, 1H), 5.41 (s, 1H), 4.78 – 4.65 (m, 2H), 4.09 – 3.96 (m, 2H), 3.75 (s, 2H), 3.68 – 3.58 (m, 5H), 3.56 – 3.51 (m, 2H), 3.29 (q, *J* = 6.8 Hz, 1H), 2.34 (s, 3H), 1.73 (s, 1H), 1.34 (d, *J* = 7.0 Hz, 3H), 1.18 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 175.4, 168.0, 167.1, 145.8, 145.0, 144.3, 139.2, 132.2, 131.4, 129.1, 128.6, 127.8, 127.3, 127.2, 126.8, 103.6, 101.3, 70.6, 67.9, 59.7, 58.0, 52.6, 50.7, 38.5, 36.9, 19.7, 19.2, 14.2.
HRMS (ESI) m/z calcd. for $C_{30}H_{37}ClN_3O_6$ $[M + H]^+$ 570.2365, found 570.2360.

(*S*)-2-(Benzylamino)propanamide (103)



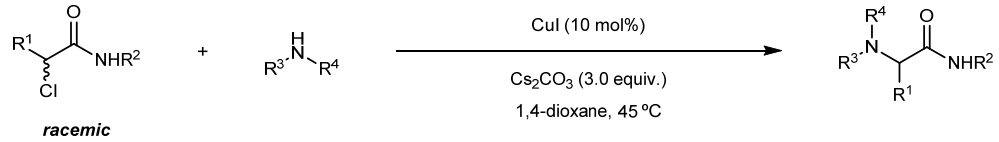
103

According to **General Procedure A** with 2-chloropropanamide **E6** (21.4 mg, 0.20 mmol, 1.0 equiv.) and benzylamine **A1** (32.1 mg, 0.30 mmol, 1.5 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **103** as a white solid (23.3 mg, 65% yield, 95% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 214 nm), t_R (major) = 9.78 min, t_R (minor) = 14.53 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.25 (m, 5H), 7.10 (s, 1H), 5.95 (s, 1H), 3.77 (q, *J* = 13.1 Hz, 2H), 3.26 (q, *J* = 7.0 Hz, 1H), 1.77 (s, 1H), 1.35 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 178.1, 139.4, 128.5, 128.0, 127.3, 57.7, 52.5, 19.6.
HRMS (ESI) m/z calcd. for $C_{10}H_{15}N_2O$ $[M + H]^+$ 179.1179, found 179.1178.



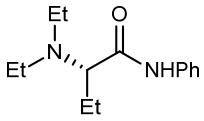
General procedure E:
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir

bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*7** (10.0 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous PhH (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, α-alkyl secondary alkyl chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous PhH (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 50 °C for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), α-alkyl secondary alkyl chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 or 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.

(*S*)-2-(Diethylamino)-*N*-phenylbutanamide (104)



104

According to **General Procedure E** with 2-chloro-*N*-phenylbutanamide **E7** (59.1 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **104** as a colorless oil (32.3 mg, 69% yield, 96% e.e.).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.30 min, t_R (minor) = 14.58 min.

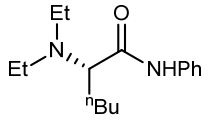
A gram-scale experiment: According to **General Procedure E** with 2-chloro-*N*-phenylbutanamide **E7** (1478.0 mg, 7.5 mmol, 1.5 equiv.) and diethylamine **A51** (365.4 mg, 5.0 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **104** as a colorless oil (804.8 mg, 69% yield, 95% e.e.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.47 (s, 1H), 7.57 – 7.55 (m, 2H), 7.34 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 3.22 (dd, *J* = 7.7, 4.9 Hz, 1H), 2.75 – 2.67 (m, 2H), 2.65 – 2.56 (m, 2H), 1.96 – 1.85 (m, 1H), 1.76 – 1.66 (m, 1H), 1.12 – 1.07 (m, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 138.0, 128.9, 123.7, 119.1, 66.6, 44.3, 19.6, 13.3, 12.8.

HRMS (ESI) m/z calcd. for $C_{14}H_{23}N_2O$ $[M + H]^+$ 235.1805, found 235.1801.

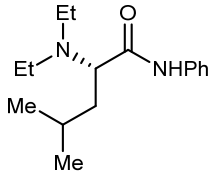
(*S*)-2-(Diethylamino)-*N*-phenylhexanamide (105)



105

According to **General Procedure E** with 2-chloro-*N*-phenylhexanamide **E8** (67.5 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **105** as a colorless oil (41.4 mg, 79% yield, 95% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 20.56 min, t_R (minor) = 31.66 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.49 (s, 1H), 7.58 – 7.54 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.06 (m, 1H), 3.28 – 3.25 (m, 1H), 2.72 – 2.63 (m, 2H), 2.61 – 2.52 (m, 2H), 1.91 – 1.81 (m, 1H), 1.66 – 1.54 (m, 2H), 1.42 – 1.31 (m, 3H), 1.13 (t, *J* = 7.1 Hz, 6H), 0.95 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 173.0, 138.1, 129.0, 123.6, 119.0, 64.8, 44.4, 30.6, 25.9, 23.0, 14.0, 13.5.
HRMS (ESI) m/z calcd. for $C_{16}H_{27}N_2O$ $[M + H]^+$ 263.2118, found 263.2114.

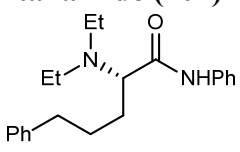
(*S*)-2-(Diethylamino)-4-methyl-*N*-phenylpentanamide (106)



106

According to **General Procedure E** with 2-chloro-4-methyl-*N*-phenylpentanamide **E9** (67.5 mg, 0.30 mmol, 1.5 equiv.), diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **106** (35.7 mg, 68% yield, 93% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 15.21 min, t_R (minor) = 21.10 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.57 – 7.54 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.05 (m, 1H), 3.37 – 3.34 (m, 1H), 2.68 – 2.59 (m, 2H), 2.55 – 2.46 (m, 2H), 1.96 – 1.79 (m, 2H), 1.35 – 1.28 (m, 1H), 1.11 (t, *J* = 7.1 Hz, 6H), 0.99 (d, *J* = 6.6 Hz, 3H), 0.94 (d, *J* = 6.5 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 138.1, 129.0, 123.6, 119.0, 62.0, 44.4, 34.3, 26.5, 23.5, 22.0, 13.9.
HRMS (ESI) m/z calcd. for $C_{16}H_{27}N_2O$ $[M + H]^+$ 263.2118, found 263.2114.

(*S*)-2-(Diethylamino)-*N*,5-diphenylpentanamide (107)

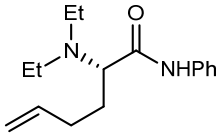


107

According to **General Procedure E** with 2-chloro-*N*,5-diphenylpentanamide **E10** (86.1 mg, 0.30

mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **107** as a colorless oil (55.2 mg, 85% yield, 94% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.57 min, t_R (minor) = 12.38 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.50 (s, 1H), 7.57 – 7.54 (m, 2H), 7.33 – 7.25 (m, 4H), 7.21 – 7.14 (m, 3H), 7.10 – 7.05 (m, 1H), 3.32 – 3.29 (m, 1H), 2.73 – 2.61 (m, 4H), 2.58 – 2.49 (m, 2H), 2.04 – 1.89 (m, 2H), 1.77 – 1.63 (m, 2H), 1.08 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 142.0, 138.0, 128.9, 128.4, 128.2, 125.7, 123.7, 119.1, 64.8, 44.4, 36.1, 30.0, 25.8, 13.4.
HRMS (ESI) m/z calcd. for $C_{21}H_{29}N_2O$ $[M + H]^+$ 325.2274, found 325.2270.

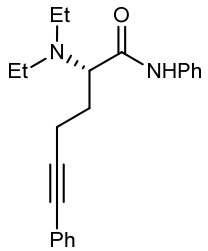
(*S*)-2-(Diethylamino)-*N*-phenylhex-5-enamide (108)



108

According to **General Procedure E** with 2-chloro-*N*-phenylhex-5-enamide **E11** (66.9 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **108** as a colorless oil (37.0 mg, 71% yield, 95% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.02 min, t_R (minor) = 7.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.50 (s, 1H), 7.57 – 7.55 (m, 2H), 7.34 – 7.30 (m, 2H), 7.10 – 7.06 (m, 1H), 5.88 – 5.78 (m, 1H), 5.10 – 4.99 (m, 2H), 3.34 – 3.31 (m, 1H), 2.72 – 2.63 (m, 2H), 2.59 – 2.51 (m, 2H), 2.46 – 2.37 (m, 1H), 2.25 – 2.16 (m, 1H), 2.05 – 1.96 (m, 1H), 1.71 – 1.63 (m, 1H), 1.11 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 138.2, 138.0, 129.0, 123.8, 119.1, 115.3, 63.5, 44.5, 32.4, 24.8, 13.7.
HRMS (ESI) m/z calcd. for $C_{16}H_{25}N_2O$ $[M + H]^+$ 261.1961, found 261.1958.

(*S*)-2-(Diethylamino)-*N*,6-diphenylhex-5-ynamide (109)

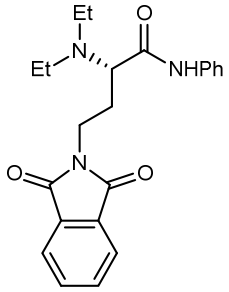


109

According to **General Procedure E** with 2-chloro-*N*,6-diphenylhex-5-ynamide **E12** (89.1 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **109** as a colorless oil (37.4 mg, 56% yield, 93% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R

(major) = 12.39 min, t_R (minor) = 16.51 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.54 (s, 1H), 7.57 – 7.55 (m, 2H), 7.41 – 7.36 (m, 2H), 7.35 – 7.31 (m, 2H), 7.29 – 7.26 (m, 3H), 7.11 – 7.07 (m, 1H), 3.66 (dd, *J* = 8.9, 3.0 Hz, 1H), 2.79 – 2.76 (m, 2H), 2.70 – 2.61 (m, 2H), 2.60 – 2.52 (m, 2H), 2.21 – 2.13 (m, 1H), 1.88 – 1.79 (m, 1H), 1.15 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 172.7, 137.9, 131.5, 129.0, 128.2, 127.6, 123.79, 123.77, 119.1, 89.7, 81.4, 62.0, 44.6, 23.8, 18.7, 14.1.
HRMS (ESI) m/z calcd. for $C_{22}H_{27}N_2O$ $[M + H]^+$ 335.2118, found 335.2112.

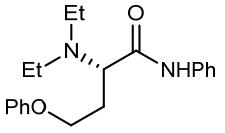
(*S*)-2-(Diethylamino)-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide (110)



110

According to **General Procedure E** with 2-chloro-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide **E13** (102.6 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **110** as a colorless oil (46.3 mg, 61% yield, 94% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 34.79 min, t_R (major) = 38.30 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.87 – 7.82 (m, 2H), 7.74 – 7.69 (m, 2H), 7.57 – 7.53 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.06 (m, 1H), 4.11 – 4.04 (m, 1H), 3.93 – 3.86 (m, 1H), 3.47 (dd, *J* = 8.9, 3.2 Hz, 1H), 2.67 – 2.58 (m, 2H), 2.52 – 2.44 (m, 2H), 2.31 – 2.23 (m, 1H), 1.96 – 1.89 (m, 1H), 1.08 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 168.4, 137.8, 133.9, 132.1, 128.9, 123.8, 123.2, 119.1, 62.1, 44.6, 37.3, 24.4, 13.9.
HRMS (ESI) m/z calcd. for $C_{22}H_{26}N_3O_3$ $[M + H]^+$ 380.1969, found 380.1963.

(*S*)-2-(Diethylamino)-4-phenoxy-*N*-phenylbutanamide (111)

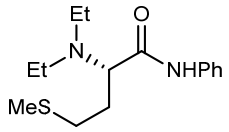


111

According to **General Procedure E** with 2-chloro-4-phenoxy-*N*-phenylbutanamide **E14** (86.7 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **111** as a colorless oil (42.4 mg, 65% yield, 89% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.82 min, t_R (minor) = 26.45 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.56 – 7.54 (m, 2H), 7.35 – 7.25 (m, 4H), 7.11 – 7.07 (m, 1H), 6.95 – 6.90 (m, 3H), 4.34 – 4.29 (m, 1H), 4.23 – 4.17 (m, 1H), 3.70 – 3.67 (m, 1H), 2.70 – 2.61 (m, 2H), 2.57 – 2.48 (m, 2H), 2.36 – 2.28 (m, 1H), 2.08 – 2.00 (m, 1H), 1.16 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 158.8, 137.9, 129.4, 129.0, 123.9, 120.6, 119.1, 114.4, 66.4, 60.0, 44.8, 24.2, 14.1.
HRMS (ESI) m/z calcd. for $C_{20}H_{27}N_2O_2$ $[M + H]^+$ 327.2067, found 327.2062.

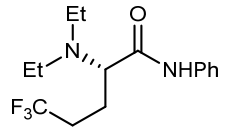
(*S*)-2-(Diethylamino)-4-(methylthio)-*N*-phenylbutanamide (112)



112

According to **General Procedure E** with 2-chloro-4-(methylthio)-*N*-phenylbutanamide **E15** (72.9 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **112** as a colorless oil (38.7 mg, 69% yield, 92% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.28 min, t_R (minor) = 12.64 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.52 (s, 1H), 7.56 – 7.53 (m, 2H), 7.35 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.58 – 3.55 (m, 1H), 2.93 – 2.86 (m, 1H), 2.74 – 2.69 (m, 1H), 2.68 – 2.61 (m, 2H), 2.56 – 2.47 (m, 2H), 2.25 – 2.16 (m, 1H), 2.14 (s, 3H), 1.83 – 1.75 (m, 1H), 1.14 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 137.9, 129.0, 123.8, 119.1, 62.3, 44.7, 33.2, 24.2, 15.4, 14.0.
HRMS (ESI) m/z calcd. for $C_{15}H_{25}N_2OS$ $[M + H]^+$ 281.1682, found 281.1678.

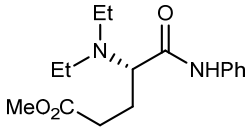
(*S*)-2-(Diethylamino)-5,5,5-trifluoro-*N*-phenylpentanamide (113)



113

According to **General Procedure E** with 2-chloro-5,5,5-trifluoro-*N*-phenylpentanamide **E16** (79.5 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **113** as a colorless oil (31.4 mg, 52% yield, 93% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.73 min, t_R (minor) = 11.65 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.55 – 7.52 (m, 2H), 7.36 – 7.31 (m, 2H), 7.13 – 7.08 (m, 1H), 3.36 (dd, *J* = 9.7, 3.1 Hz, 1H), 2.77 – 2.61 (m, 3H), 2.56 – 2.48 (m, 2H), 2.30 – 2.14 (m, 1H), 2.10 – 2.00 (m, 1H), 1.86 – 1.78 (m, 1H), 1.13 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.6, 129.1, 127.1 (q, *J* = 273.2 Hz), 124.1, 119.2, 62.8, 44.5, 32.8 (q, *J* = 28.2 Hz), 17.6 (q, *J* = 2.8 Hz), 13.8.
^{19}F NMR (376 MHz, $CDCl_3$) δ -66.56 (s, 3F).
HRMS (ESI) m/z calcd. for $C_{15}H_{22}F_3N_2O$ $[M + H]^+$ 303.1679, found 303.1675.

Methyl (*S*)-4-(diethylamino)-5-oxo-5-(phenylamino)pentanoate (114)



114

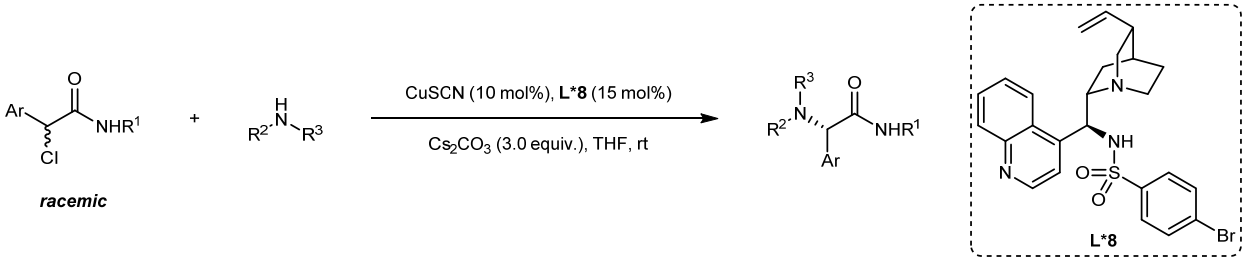
According to **General Procedure E** with methyl 3-chloro-4-oxo-4-(phenylamino)butanoate **E17** (76.5 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **114** as a colorless oil (34.6 mg, 59% yield, 97% e.e.).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.08 min, t_R (minor) = 12.47 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.44 (s, 1H), 7.55 – 7.53 (m, 2H), 7.34 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 3.68 (s, 3H), 3.39 – 3.35 (m, 1H), 2.81 – 2.73 (m, 1H), 2.70 – 2.52 (m, 5H), 2.10 – 1.92 (m, 2H), 1.12 (t, J = 7.1 Hz, 6H).

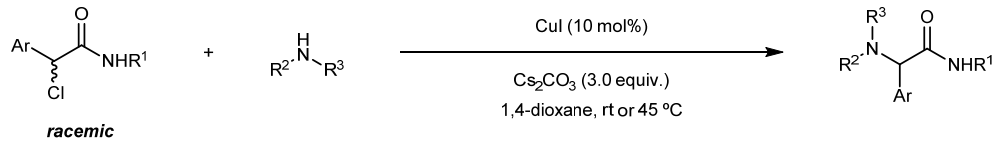
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.0, 172.5, 137.8, 129.0, 123.8, 119.1, 62.9, 51.6, 44.4, 32.4, 20.3, 13.8.

HRMS (ESI) *m/z* calcd. for $C_{16}H_{25}N_2O_3$ $[M + H]^+$ 293.1860, found 293.1857.



General procedure F:

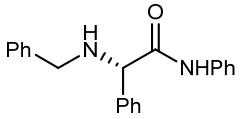
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuSCN (2.4 mg, 0.02 mmol, 10 mol%), **L*8** (15.3 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous THF (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, α-aryl secondary alkyl chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous THF (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), α-aryl secondary alkyl

chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at rt or 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel to afford the desired product.

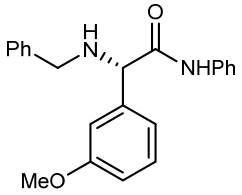
(*S*)-2-(Benzylamino)-*N*,2-diphenylacetamide (115)



115

According to **General Procedure F** with 2-chloro-*N*,2-diphenylacetamide **E18** (73.5 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **115** as a white solid (44.0 mg, 70% yield, 94% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 9.54 min, t_R (major) = 11.78 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.59 – 7.57 (m, 2H), 7.41 – 7.38 (m, 2H), 7.36 – 7.27 (m, 10H), 7.11 – 7.08 (m, 1H), 4.34 (s, 1H), 3.87 (s, 2H), 2.05 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 138.85, 138.83, 137.6, 129.0, 128.9, 128.7, 128.3, 128.1, 127.5, 127.2, 124.2, 119.4, 67.6, 52.8.
HRMS (ESI) *m/z* calcd. for $C_{21}H_{21}N_2O$ $[M + H]^+$ 317.1648, found 317.1653.

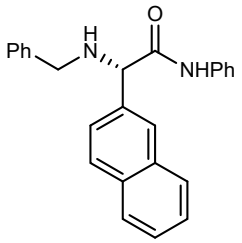
(*S*)-2-(Benzylamino)-2-(3-methoxyphenyl)-*N*-phenylacetamide (116)



116

According to **General Procedure F** with 2-chloro-2-(3-methoxyphenyl)-*N*-phenylacetamide **E19** (82.5 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **116** as a white solid (53.8 mg, 78% yield, 94% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.18 min, t_R (major) = 12.29 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.58 – 7.55 (m, 2H), 7.38 – 7.28 (m, 7H), 7.24 – 7.22 (m, 1H) 7.11 – 7.07 (m, 1H), 6.98 (d, *J* = 7.7 Hz, 1H), 6.94 (t, *J* = 2.1 Hz, 1H), 6.84 – 6.81 (m, 1H), 4.30 (s, 1H), 3.85 (s, 2H), 3.76 (s, 3H), 2.07 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.0, 159.9, 140.3, 138.8, 137.6, 129.9, 128.9, 128.7, 128.1, 127.5, 124.2, 119.41, 119.35, 113.6, 113.0, 67.5, 55.2, 52.7.
HRMS (ESI) *m/z* calcd. for $C_{22}H_{23}N_2O_2$ $[M + H]^+$ 347.1754, found 347.1760.

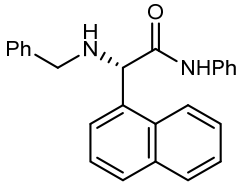
(*S*)-2-(Benzylamino)-2-(naphthalen-2-yl)-*N*-phenylacetamide (117)



117

According to **General Procedure F** with 2-chloro-2-(naphthalen-2-yl)-*N*-phenylacetamide **E20** (88.5 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **117** as a white solid (60.0 mg, 82% yield, 90% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.78 min, t_R (major) = 16.18 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.86 – 7.78 (m, 4H), 7.60 – 7.57 (m, 2H), 7.53 – 7.50 (m, 1H), 7.49 – 7.44 (m, 2H), 7.40 – 7.28 (m, 7H), 7.12 – 7.08 (m, 1H), 4.51 (s, 1H), 3.91 (s, 2H), 2.01 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.0, 138.9, 137.6, 136.2, 133.3, 133.2, 129.0, 128.9, 128.8, 128.2, 128.0, 127.65, 127.58, 126.45, 126.38, 126.3, 124.8, 124.2, 119.5, 67.7, 52.8.
HRMS (ESI) *m/z* calcd. for $C_{25}H_{23}N_2O$ $[M + H]^+$ 367.1805, found 367.1811.

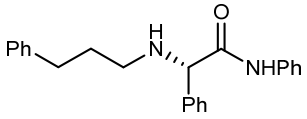
(*S*)-2-(Benzylamino)-2-(naphthalen-1-yl)-*N*-phenylacetamide (118)



118

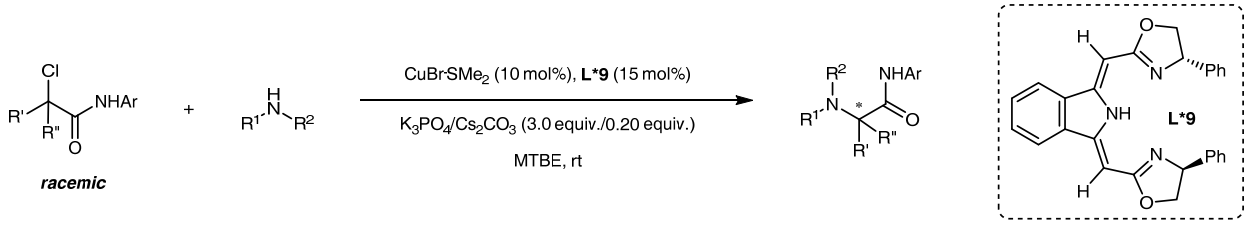
According to **General Procedure F** with 2-chloro-2-(naphthalen-1-yl)-*N*-phenylacetamide **E21** (88.5 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **118** as a white solid (43.5 mg, 59% yield, 97% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 21.38 min, t_R (minor) = 28.89 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.54 (s, 1H), 8.05 – 8.01 (m, 1H), 7.88 – 7.83 (m, 1H), 7.82 – 7.80 (m, 1H), 7.63 – 7.60 (m, 2H), 7.56 – 7.47 (m, 3H), 7.44 – 7.40 (m, 1H), 7.39 – 7.28 (m, 7H), 7.14 – 7.09 (m, 1H), 5.07 (s, 1H), 3.97 (s, 2H), 2.01 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 138.8, 137.7, 135.1, 134.2, 131.2, 129.02, 129.00, 128.98, 128.8, 128.4, 127.6, 126.8, 125.9, 125.4, 125.2, 124.2, 123.2, 119.4, 63.8, 53.2.
HRMS (ESI) *m/z* calcd. for $C_{25}H_{23}N_2O$ $[M + H]^+$ 367.1805, found 367.1810.

(*S*)-*N*,2-Diphenyl-2-((3-phenylpropyl)amino)acetamide (119)



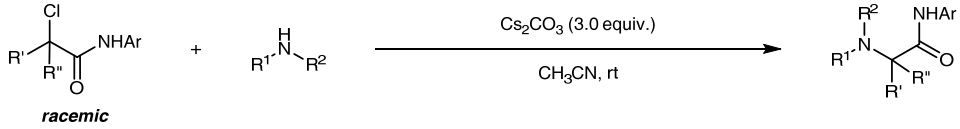
119

According to **General Procedure F** with 2-chloro-*N*,2-diphenylacetamide **E18** (73.5 mg, 0.30 mmol, 1.5 equiv.) and 3-phenylpropan-1-amine **A13** (27.0 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **119** as a colorless oil (45.4 mg, 66% yield, 95% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.14 min, t_R (minor) = 14.99 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.46 (s, 1H), 7.58 – 7.55 (m, 2H), 7.42 – 7.39 (m, 2H), 7.35 – 7.24 (m, 7H), 7.20 – 7.15 (m, 3H), 7.11 – 7.07 (m, 1H), 4.32 (s, 1H), 2.83 – 2.62 (m, 4H), 2.47 (s, 1H), 1.94 – 1.87 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 141.4, 137.6, 129.0, 128.9, 128.4, 128.3, 128.2, 127.2, 126.0, 124.2, 119.5, 68.2, 48.4, 33.5, 31.5.
HRMS (ESI) *m/z* calcd. for $C_{23}H_{25}N_2O$ $[M + H]^+$ 345.1961, found 345.1966.



General procedure G:

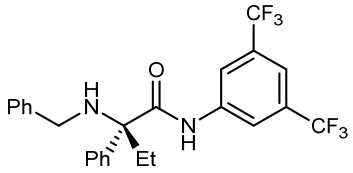
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with $CuBr \cdot SMe_2$ (4.1 mg, 0.02 mmol, 10 mol%), **L*9** (13.0 mg, 0.03 mmol, 15 mol%), K_3PO_4 (127.1 mg, 0.60 mmol, 3.0 equiv.), Cs_2CO_3 (13.0 mg, 0.04 mmol, 0.2 equiv.), and anhydrous MTBE (1.0 mL). Then, the mixture was stirred at room temperature for 3 h. After that, racemic tertiary alkyl chloride (0.20 mmol, 1.0 equiv.), alkyl amine (0.24 mmol, 1.2 equiv.), and anhydrous MTBE (1.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 7d. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), racemic tertiary alkyl chloride (0.20 mmol, 1.0 equiv.), amine (0.24 mmol, 1.2 equiv.), and anhydrous CH_3CN (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was

evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel on silica gel to afford the desired product.

(*S*)-2-(benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-phenylbutanamide (120)



120

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-phenylbutanamide **E22** (82.0 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **120** as a yellowish solid (68.2 mg, 71% yield, 91% e.e.).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.95 min, t_R (minor) = 11.39 min.

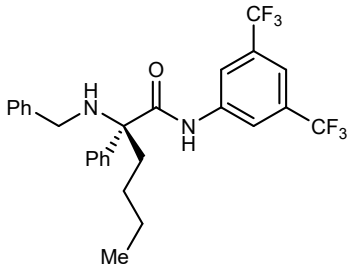
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 7.94 (s, 2H), 7.61 – 7.59 (m, 2H), 7.53 (s, 1H), 7.43 – 7.37 (m, 6H), 7.35 – 7.28 (m, 2H), 3.76 (d, *J* = 12.9 Hz, 1H), 3.55 (d, *J* = 12.9 Hz, 1H), 2.57 – 2.41 (m, 2H), 2.25 (s, 1H), 0.85 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 140.0, 139.4, 139.2, 132.2 (q, *J* = 33.2 Hz), 128.93, 128.87, 127.9, 127.8, 127.7, 125.8, 123.0 (q, *J* = 271.3 Hz), 118.83 – 118.80 (m), 117.2 – 117.0 (m), 68.8, 47.3, 24.9, 7.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.97 (s, 6F).

HRMS (ESI) m/z calcd. for $C_{25}H_{23}F_6N_2O$ $[M + H]^+$ 481.1709, found 481.1716.

(*S*)-2-(Benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-phenylhexanamide (121)



121

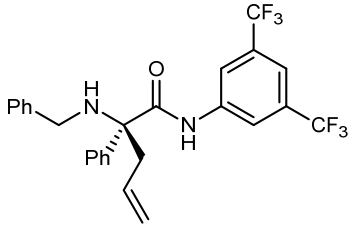
According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-phenylhexanamide **E23** (87.6 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **121** as a white solid (54.9 mg, 54% yield, 90% e.e.).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 4.68 min, t_R (minor) = 6.72 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 7.94 (s, 2H), 7.62 – 7.59 (m, 2H), 7.53 (s, 1H), 7.43 – 7.28 (m, 8H), 3.76 (d, *J* = 12.9 Hz, 1H), 3.56 (d, *J* = 12.9 Hz, 1H), 2.51 – 2.36 (m, 2H), 2.24(s, 1H), 1.50 – 1.37 (m, 2H), 1.22 – 1.07 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 140.3, 139.4, 139.2, 132.2 (q, *J* = 33.3 Hz), 128.93, 128.87, 127.9, 127.8, 127.7, 125.8, 123.0 (q, *J* = 271.2 Hz), 118.84 – 118.80 (m), 117.2 – 117.0 (m), 68.4, 47.4, 32.0, 25.3, 22.9, 14.0.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.99 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{27}H_{27}F_6N_2O$ $[M + H]^+$ 509.2022, found 509.2028.

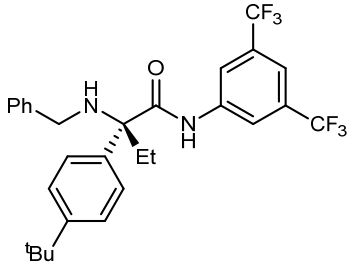
(*S*)-2-(Benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-phenylpent-4-enamide (122)



122

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-phenylpent-4-enamide **E24** (84.2 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **122** as a white solid (61.1 mg, 62% yield, 82% e.e.).
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.36 min, t_R (minor) = 7.72 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.93 (s, 2H), 7.63 – 7.60 (m, 2H), 7.54 (s, 1H), 7.43 – 7.38 (m, 4H), 7.36 – 7.30 (m, 4H), 5.65 – 5.54 (m, 1H), 5.35 – 5.30 (m, 1H), 7.24 – 7.21 (m, 1H), 3.78 (d, *J* = 12.7 Hz, 1H), 3.56 (d, *J* = 12.7 Hz, 1H), 3.36 – 3.31 (m, 1H), 3.24 – 3.18 (m, 1H), 2.33 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 139.6, 139.4, 139.0, 132.4, 132.2 (q, *J* = 33.3 Hz), 129.0, 128.9, 128.04, 128.03, 127.7, 125.9, 123.0 (q, *J* = 271.2 Hz), 120.4, 119.0 – 118.9 (m), 117.3 – 117.2 (m), 67.8, 47.1, 36.8.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.99 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{26}H_{23}F_6N_2O$ $[M + H]^+$ 493.1709, found 493.1714.

(*S*)-2-(Benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-(4-(*tert*-butyl)phenyl)butanamide (123)

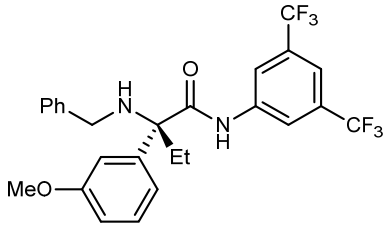


123

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-(4-(*tert*-butyl)phenyl)-2-chlorobutanamide **E25** (93.0 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 30/1) to yield the product **123** as a

yellowish oil (71.9 mg, 67% yield, 87% e.e.).
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.73 min, t_R (minor) = 8.15 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.65 (s, 1H), 7.95 (s, 2H), 7.52 – 7.49 (m, 3H), 7.43 – 7.31 (m, 7H), 3.77 (d, *J* = 12.9 Hz, 1H), 3.54 (d, *J* = 12.9 Hz, 1H), 2.56 – 2.40 (m, 2H), 2.04 (s, 1H), 1.30 (s, 9H), 0.86 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 150.7, 139.5, 139.3, 136.8, 132.2 (q, *J* = 33.2 Hz), 128.9, 127.9, 127.6, 125.8, 125.5, 123.1 (q, *J* = 271.1 Hz), 118.81 – 118.77 (m), 117.1 – 117.0 (m), 68.5, 47.2, 34.4, 31.2, 24.7, 7.5.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.98 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{29}H_{31}F_6N_2O$ $[M + H]^+$ 537.2335, found 537.2341.

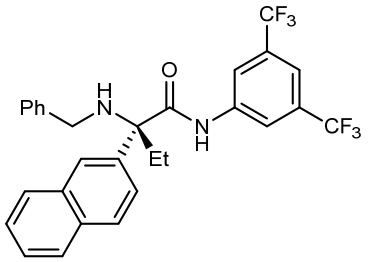
(*S*)-2-(Benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-(3-methoxyphenyl)butanamide (124)



124

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-(3-methoxyphenyl)butanamide **E26** (87.8 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **124** as a yellowish oil (80.7 mg, 79% yield, 92% e.e.).
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.26 min, t_R (minor) = 10.43 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.94 (s, 2H), 7.53 (s, 1H), 7.43 – 7.30 (m, 6H), 7.19 – 7.15 (m, 2H), 6.85 – 6.82 (m, 1H), 3.81 (s, 3H), 3.77 (d, *J* = 12.9 Hz, 1H), 3.55 (d, *J* = 12.9 Hz, 1H), 2.55 – 2.38 (m, 2H), 2.07 (s, 1H), 0.84 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 159.9, 141.7, 139.4, 139.2, 132.2 (q, *J* = 33.3 Hz), 129.9, 128.9, 127.9, 127.7, 123.0 (q, *J* = 271.1 Hz), 118.84 – 118.76 (m), 118.2, 117.2 – 117.0 (m), 112.7, 112.2, 68.7, 55.3, 47.2, 24.9, 7.4.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.99 (s, 6F).
HRMS (ESI) m/z calcd. for $C_{26}H_{25}F_6N_2O_2$ $[M + H]^+$ 511.1815, found 511.1823.

(*S*)-2-(Benzylamino)-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-(naphthalen-2-yl)butanamide (125)



125

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-(naphthalen-2-yl)butanamide **E27** (92.0 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **125** as a yellowish oil (70.0 mg, 66% yield, 87% e.e.).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.27 min, t_R (minor) = 8.99 min.

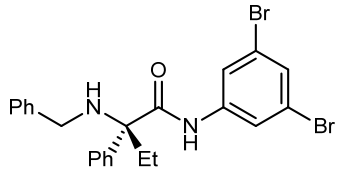
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 8.05 (s, 1H), 7.94 (s, 2H), 7.88 – 7.86 (m, 2H), 7.83 – 7.80 (m, 1H), 7.71 – 7.68 (m, 1H), 7.52 (s, 1H), 7.51 – 7.46 (m, 2H), 7.45 – 7.39 (m, 4H), 7.38 – 7.33 (m, 1H), 3.80 (d, *J* = 12.9 Hz, 1H), 3.60 (d, *J* = 12.8 Hz, 1H), 2.69 – 2.42 (m, 3H), 0.87 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 139.4, 139.2, 137.4, 133.2, 132.6, 132.2 (q, *J* = 33.3 Hz), 129.0, 128.8, 128.2, 128.0, 127.8, 127.5, 126.48, 126.46, 125.0, 123.6, 123.0 (q, *J* = 271.2 Hz), 119.0 – 118.8 (m), 117.2 – 117.1 (m), 69.0, 47.4, 25.0, 7.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.97 (s, 6F).

HRMS (ESI) m/z calcd. for $C_{29}H_{25}F_6N_2O$ $[M + H]^+$ 531.1866, found 531.1872.

(*S*)-2-(Benzylamino)-*N*-(3,5-dibromophenyl)-2-phenylbutanamide (126)



126

According to **General Procedure G** with 2-chloro-*N*-(3,5-dibromophenyl)-2-phenylbutanamide **E28** (86.3 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **126** as a yellowish oil (54.2 mg, 54% yield, 92% e.e.).

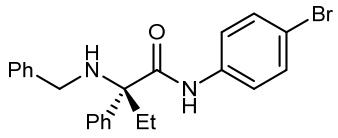
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.70 min, t_R (minor) = 8.91 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.62 (d, *J* = 1.7 Hz, 2H), 7.57 – 7.54 (m, 2H), 7.44 – 7.27 (m, 9H), 3.71 (d, *J* = 12.7 Hz, 1H), 3.52 (d, *J* = 12.8 Hz, 1H), 2.53 – 2.38 (m, 2H), 2.18 (s, 1H), 0.82 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 140.1, 139.9, 139.4, 129.3, 128.9, 128.8, 128.0, 127.7, 127.6, 125.8, 122.9, 120.7, 68.6, 47.2, 24.9, 7.4.

HRMS (ESI) m/z calcd. for $C_{23}H_{23}Br_2N_2O$ $[M + H]^+$ 501.0172, found 501.0177.

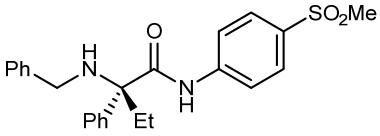
(*S*)-2-(Benzylamino)-*N*-(4-bromophenyl)-2-phenylbutanamide (127)



127

According to **General Procedure G** with *N*-(4-bromophenyl)-2-chloro-2-phenylbutanamide **E29** (70.5 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **127** as a yellowish oil (43.2 mg, 51% yield, 90% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.21 min, t_R (minor) = 14.97 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.33 (s, 1H), 7.59 – 7.57 (m, 2H), 7.43 – 7.31 (m, 11H), 7.29 – 7.25 (m, 1H), 3.69 (d, *J* = 12.7 Hz, 1H), 3.53 (d, *J* = 12.7 Hz, 1H), 2.53 – 2.37 (m, 2H), 2.15 (s, 1H), 0.83 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 140.5, 139.6, 136.9, 131.8, 128.8, 128.7, 128.0, 127.5, 125.9, 120.8, 116.4, 68.5, 47.2, 25.0, 7.4.
HRMS (ESI) m/z calcd. for $C_{23}H_{24}BrN_2O$ $[M + H]^+$ 423.1067, found 423.1070.

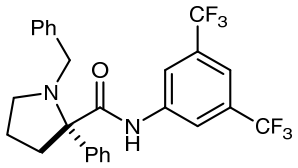
(*S*)-2-(Benzylamino)-*N*-(4-(methylsulfonyl)phenyl)-2-phenylbutanamide (128)



128

According to **General Procedure G** with 2-chloro-*N*-(4-(methylsulfonyl)phenyl)-2-phenylbutanamide **E30** (70.2 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (DCM/EtOAc = 20/1) to yield the product **128** as a yellowish solid (46.5 mg, 55% yield, 91% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 50/50, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 29.13 min, t_R (minor) = 45.94 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 7.83 – 7.81 (m, 2H), 7.68 – 7.65 (m, 2H), 7.59 – 7.58 (m, 2H), 7.44 – 7.26 (m, 8H), 3.74 (d, *J* = 12.8 Hz, 1H), 3.54 (d, *J* = 12.8 Hz, 1H), 2.98 (s, 3H), 2.55 – 2.38 (m, 2H), 2.23 (s, 1H), 0.84 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 142.6, 140.0, 139.3, 134.9, 128.8, 128.7, 128.6, 127.9, 127.7, 127.6, 125.8, 119.1, 68.7, 47.3, 44.6, 25.0, 7.3.
HRMS (ESI) m/z calcd. for $C_{24}H_{27}N_2O_3S$ $[M + H]^+$ 423.1737, found 423.1738.

(*S*)-*N*-(3,5-Bis(trifluoromethyl)phenyl)-1-ethyl-2-phenylpyrrolidine-2-carboxamide (129)



129

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2,5-dichloro-2-

phenylpentanamide **E31** (91.6 mg, 0.2 mmol, 1.0 equiv.) and benzylamine **A1** (25.7 mg, 0.24 mmol, 1.2 equiv.) for 7 d, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **129** as a yellowish oil (51.2 mg, 52% yield, 87% e.e.).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 9.55 min, t_R (minor) = 11.07 min.

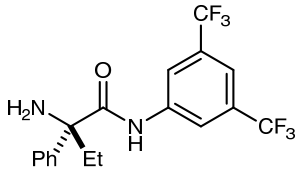
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.57 (s, 1H), 8.11 (s, 2H), 7.61 (s, 1H), 7.45 – 7.30 (m, 8H), 7.24 – 7.23 (m, 2H), 3.57 (d, *J* = 13.7 Hz, 1H), 3.27 (t, *J* = 8.3 Hz, 1H), 2.87 (d, *J* = 13.7 Hz, 1H), 2.81 – 2.70 (m, 3H), 2.10 – 2.04 (m, 1H), 1.98 – 1.86 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 174.2, 139.3, 138.3, 132.4 (q, *J* = 33.1 Hz), 128.9, 128.43, 128.36, 128.3, 127.8, 127.6, 123.1 (q, *J* = 271.1 Hz), 118.70 –118.67 (m), 117.3 – 117.1 (m), 76.8, 55.9, 53.0, 39.3, 23.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.94 (s, 6F).

HRMS (ESI) m/z calcd. for $C_{26}H_{23}F_6N_2O$ $[M + H]^+$ 493.1709, found 493.1715.

(*S*)-2-Amino-*N*-(3,5-bis(trifluoromethyl)phenyl)-2-phenylbutanamide (130)



130

According to **General Procedure G** with *N*-(3,5-bis(trifluoromethyl)phenyl)-2-chloro-2-phenylbutanamide **E22** (82.0 mg, 0.20 mmol, 1.0 equiv.), Ammonia **A43** (1.50 mL, 0.60 mmol, 3.0 equiv., 0.4 M in 1,4-dioxane), $CuBH_4(PPh_3)_2$ (12.0 mg, 0.02 mmol, 10 mol%), and anhydrous Et_2O (4.0 mL). for 96 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **130** (39.5 mg, 51% yield, 85% e.e.).

HPLC analysis**:** Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.04 min, t_R (minor) = 8.38 min.

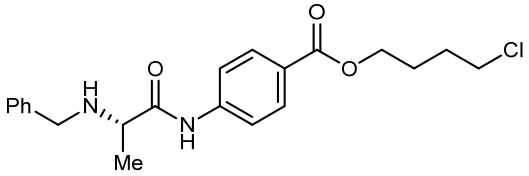
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.24 (s, 1H), 8.11 (s, 2H), 7.58 – 7.55 (m, 3H), 7.40 – 7.36 (m, 2H), 7.32 – 7.28 (m, 1H), 2.37 (dq, *J* = 14.8, 7.4 Hz, 1H), 2.27 (dq, *J* = 14.5, 7.4 Hz, 1H), 1.94 (s, 2H), 0.95 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.7, 141.9, 139.2, 132.2 (q, *J* = 33.2 Hz), 128.8, 127.8, 125.3, 123.1 (q, *J* = 271.1 Hz), 118.93 – 118.90 (m), 117.2 – 117.1 (m), 64.1, 32.3, 8.1.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.98 (s, 6F).

HRMS (ESI) *m/z* calcd. for $C_{18}H_{17}F_6N_2O$ $[M + H]^+$ 391.1240, found 391.1243.

4-Chlorobutyl (*S*)-4-(2-(benzylamino)propanamido)benzoate (131)

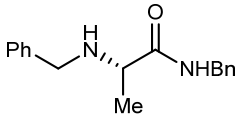


131

According to **General Procedure A** with 4-chlorobutyl 4-(2-chloropropanamido)benzoate **E32**

(95.1 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **131** (69.2 mg, 89% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.98 min, t_R (minor) = 15.05 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 8.02 – 7.99 (m, 2H), 7.66 – 7.63 (m, 2H), 7.38 – 7.26 (m, 5H), 4.35 – 4.30 (m, 2H), 3.82 (s, 2H), 3.62 – 3.59 (m, 2H), 3.37 (q, *J* = 7.0 Hz, 1H), 1.95 – 1.92 (m, 4H), 1.80 (s, 1H), 1.41 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 166.0, 141.9, 139.0, 130.7, 128.7, 127.9, 127.5, 125.3, 118.4, 63.9, 58.4, 52.8, 44.4, 29.2, 26.1, 19.5.
HRMS (ESI) m/z calcd. for $C_{21}H_{26}ClN_2O_3$ $[M + H]^+$ 389.1626, found 389.1626.

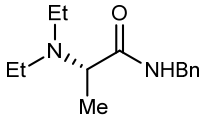
(*S*)-*N*-Benzyl-2-(benzylamino)propanamide (132)



132

According to **General Procedure A** with *N*-benzyl-2-chloropropanamide **E33** (59.1 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **132** as a colorless oil (30.1 mg, 56% yield, 82% e.e.).
HPLC analysis: Chiralcel OD (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 214 nm), t_R (minor) = 18.12 min, t_R (major) = 20.28 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (s, 1H), 7.36 – 7.20 (m, 10H), 4.45 (d, *J* = 5.9 Hz, 2H), 3.73 (s, 2H), 3.31 (q, *J* = 6.9 Hz, 1H), 1.71 (s, 1H), 1.36 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.6, 139.3, 138.5, 128.7, 128.6, 128.0, 127.6, 127.4, 127.3, 57.9, 52.7, 43.0, 19.8.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1642.

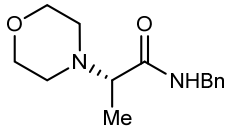
(*S*)-*N*-Benzyl-2-(diethylamino)propanamide (133)



133

According to **General Procedure C** with *N*-benzyl-2-chloropropanamide **E33** (59.1 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **133** as a colorless oil (29.7 mg, 63% yield, 84% e.e.).
HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 214 nm), t_R (major) = 8.84 min, t_R (minor) = 13.75 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (s, 1H), 7.36 – 7.31 (m, 2H), 7.28 – 7.24 (m, 3H), 4.53 – 4.48 (m, 1H), 4.41 – 4.36 (m, 1H), 3.42 (q, *J* = 6.7 Hz, 1H), 2.59 – 2.50 (m, 2H), 2.47 – 2.38 (m, 2H), 1.24 (d, *J* = 7.1 Hz, 3H), 0.99 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.8, 138.7, 128.6, 127.5, 127.2, 59.3, 44.0, 43.1, 13.2, 9.5.
HRMS (ESI) *m/z* calcd. for $C_{14}H_{23}N_2O$ $[M + H]^+$ 235.1805, found 235.1801.

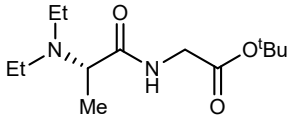
(*S*)-*N*-Benzyl-2-morpholinopropanamide (134)



134

According to **General Procedure C** with *N*-benzyl-2-chloropropanamide **E33** (59.1 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **134** as a colorless oil (33.2 mg, 67% yield, 94% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 214 nm), t_R (minor) = 29.14 min, t_R (major) = 30.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.44 (m, 1H), 7.36 – 7.32 (m, 2H), 7.30 – 7.25 (m, 3H), 4.51 – 4.41 (m, 2H), 3.73 – 3.64 (m, 4H), 3.11 (q, *J* = 7.3 Hz, 1H), 2.61 – 2.48 (m, 4H), 1.29 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 138.4, 128.6, 127.5, 127.4, 66.8, 64.4, 50.2, 43.0, 12.3.
HRMS (ESI) m/z calcd. for $C_{14}H_{21}N_2O_2$ $[M + H]^+$ 249.1598, found 249.1595.

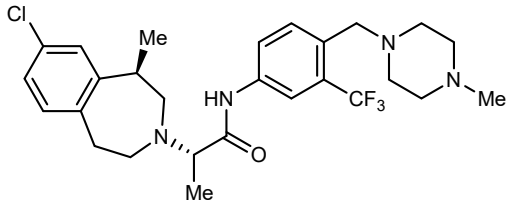
***tert*-Butyl diethyl-*L*-alanylglycinate (135)**



135

According to **General Procedure E** with *tert*-butyl (2-chloropropanoyl)glycinate **E4** (66.3 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 15/1) to yield the product **135** as a colorless oil (40.3 mg, 78% yield, 98% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 214 nm), t_R (major) = 51.08 min, t_R (minor) = 55.26 min.
According to **General Procedure E** with *tert*-butyl (2-chloropropanoyl)glycinate **E4** (44.2 mg, 0.20 mmol, 1.0 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 15/1) to yield the product **135** as a colorless oil (49.9 mg, 97% yield, 92% e.e.).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (s, 1H), 4.03 – 3.85 (m, 2H), 3.39 (q, *J* = 7.0 Hz, 1H), 2.58 (dq, *J* = 12.8, 7.3 Hz, 2H), 2.44 (dq, *J* = 13.6, 6.9 Hz, 2H), 1.48 (s, 9H), 1.20 (d, *J* = 7.0 Hz, 3H), 1.07 (t, *J* = 7.1 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 175.1, 169.0, 81.8, 59.0, 43.9, 41.7, 28.0, 13.3, 9.2.
HRMS (ESI) m/z calcd. for $C_{13}H_{27}N_2O_3$ $[M + H]^+$ 259.2016, found 259.2011.

(*S*)-2-((*R*)-8-Chloro-1-methyl-1,2,4,5-tetrahydro-3*H*-benzo[d]azepin-3-yl)-*N*-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)propanamide (136)



136

According to **General Procedure C** with 2-chloro-*N*-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)propanamide **E34** (108.9 mg, 0.30 mmol, 1.5 equiv.), lorcaserin hydrochloride **A99** (46.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 15/1) to yield the product **136** as a colorless oil (79.6 mg, 76% yield, >20:1 d.r.).

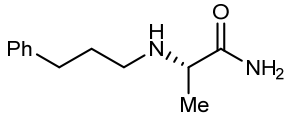
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.80 (d, *J* = 2.3 Hz, 1H), 7.65 – 7.62 (m, 1H), 7.55 – 7.52 (m, 1H), 7.19 – 7.15 (m, 2H), 7.08 – 7.05 (m, 1H), 3.66 (s, 2H), 3.46 (q, *J* = 7.0 Hz, 1H), 3.20 – 3.12 (m, 1H), 3.04 – 3.03 (m, 1H), 2.99 – 2.91 (m, 1H), 2.89 – 2.68 (m, 11H), 2.54 – 2.47 (m, 4H), 1.39 (d, *J* = 7.1 Hz, 3H), 1.26 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 145.9, 138.2, 136.8, 132.3, 131.8, 131.4, 130.6, 129.3 (q, *J* = 30.3 Hz), 126.5, 126.2, 123.9 (q, *J* = 272.7 Hz), 121.7, 116.3 (q, *J* = 6.0 Hz), 65.6, 57.5, 57.4, 54.5, 51.3, 44.8, 38.7, 35.1, 29.2, 17.8, 9.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -59.29 (s, 3F).

HRMS (ESI) m/z calcd. for $C_{27}H_{35}ClF_3N_4O$ $[M + H]^+$ 523.2446, found 523.2450.

(*S*)-2-((3-Phenylpropyl)amino)propanamide (137)



137

According to **General Procedure A** with 2-chloropropanamide **E6** (21.4 mg, 0.20 mmol, 1.0 equiv.), 3-phenylpropan-1-amine **A13** (40.5 mg, 0.30 mmol, 1.5 equiv.), and **L*5** (15.8 mg, 0.03 mmol, 15 mol%), for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **137** as a white solid (16.8 mg, 41% yield, 93% e.e.).

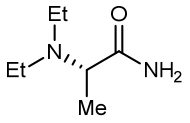
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 214 nm), t_R (minor) = 9.67 min, t_R (major) = 14.88 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.26 (m, 2H), 7.21 – 7.16 (m, 3H), 7.04 (s, 1H), 5.49 (s, 1H), 3.14 (q, *J* = 7.0 Hz, 1H), 2.73 – 2.56 (m, 4H), 1.85 – 1.77 (m, 2H), 1.58 (s, 1H), 1.31 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 141.7, 128.4, 128.3, 125.9, 58.4, 48.2, 33.5, 31.7, 19.7.

HRMS (ESI) m/z calcd. for $C_{12}H_{19}N_2O$ $[M + H]^+$ 207.1492, found 207.1491.

(*S*)-2-(Diethylamino)propanamide (138)



138

According to **General Procedure E** with 2-chloropropanamide **E6** (32.1 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 10/1) to yield the product **138** as a white solid (25.1 mg, 87% yield, 88% e.e.).

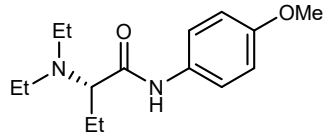
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.9 mL/min, λ = 214 nm), t_R (major) = 39.92 min, t_R (minor) = 49.83 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 (s, 1H), 6.03 (s, 1H), 3.37 (q, *J* = 7.0 Hz, 1H), 2.61 – 2.52 (m, 2H), 2.46 – 2.38 (m, 2H), 1.19 (d, *J* = 7.0 Hz, 3H), 1.04 (t, *J* = 7.1 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 178.4, 59.0, 44.0, 13.4, 8.9.

HRMS (ESI) m/z calcd. for $C_7H_{17}N_2O$ $[M + H]^+$ 145.1335, found 145.1334.

(*S*)-2-(Diethylamino)-*N*-(4-methoxyphenyl)butanamide (139)



139

According to **General Procedure E** with 2-chloro-*N*-(4-methoxyphenyl)butanamide **E35** (68.1 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **139** as a colorless oil (38.3 mg, 72% yield, 97% e.e.).

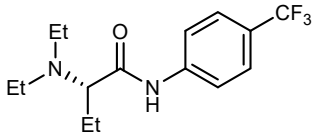
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.28 min, t_R (minor) = 15.09 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.50 – 7.46 (m, 2H), 6.88 – 6.84 (m, 2H), 3.79 (s, 3H), 3.23 (dd, *J* = 7.8, 4.9 Hz, 1H), 2.76 – 2.68 (m, 2H), 2.66 – 2.57 (m, 2H), 1.97 – 1.86 (m, 1H), 1.76 – 1.66 (m, 1H), 1.12 – 1.07 (m, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 156.0, 131.3, 120.7, 114.1, 66.5, 55.4, 44.3, 19.6, 13.1, 12.7.

HRMS (ESI) m/z calcd. for $C_{15}H_{25}N_2O_2$ $[M + H]^+$ 265.1911, found 265.1907.

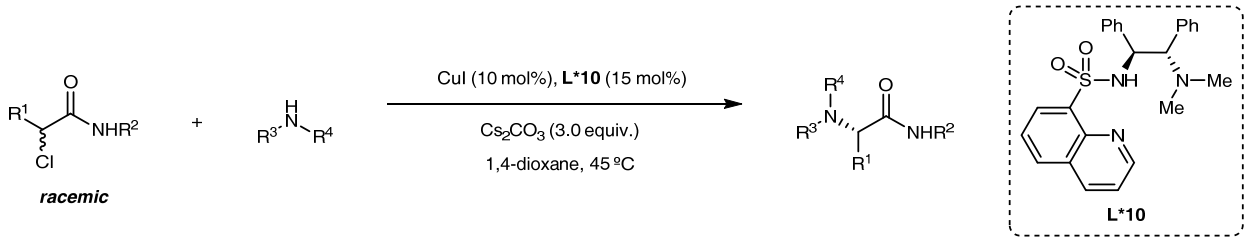
(*S*)-2-(Diethylamino)-*N*-(4-(trifluoromethyl)phenyl)butanamide (140)



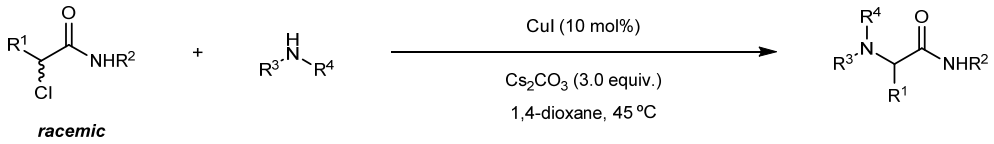
140

According to **General Procedure E** with 2-chloro-*N*-(4-(trifluoromethyl)phenyl)butanamide **E36** (79.5 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **140** as a colorless oil (33.6 mg, 56% yield, 95%

e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.20 min, t_R (minor) = 9.33 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.67 (s, 1H), 7.69 – 7.67 (m, 2H), 7.58 – 7.56 (m, 2H), 3.22 (dd, *J* = 7.7, 4.9 Hz, 1H), 2.74 – 2.65 (m, 2H), 2.64 – 2.55 (m, 2H), 1.96 – 1.85 (m, 1H), 1.77 – 1.66 (m, 1H), 1.13 – 1.08 (m, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 141.0, 126.2 (q, *J* = 3.8 Hz), 125.4 (q, *J* = 32.7 Hz), 124.2 (q, *J* = 269.7 Hz), 118.6, 66.6, 44.4, 19.4, 13.4, 12.9.
^{19}F NMR (376 MHz, $CDCl_3$) δ -62.02 (s, 3F).
HRMS (ESI) m/z calcd. for $C_{15}H_{22}F_3N_2O$ $[M + H]^+$ 303.1679, found 303.1675.

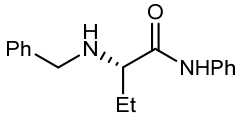


General procedure H:
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*10** (12.9 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, α-alkyl secondary alkyl chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.



The racemates of products were prepared following the procedure: Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), α-alkyl secondary alkyl chloride (0.30 mmol, 1.5 equiv.), alkyl amine (0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 or 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography or preparative thin-layer chromatography on silica gel to afford the desired product.

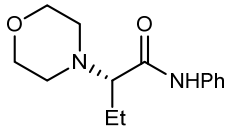
(*S*)-2-(Benzylamino)-*N*-phenylbutanamide (141)



141

According to **General Procedure H** with 2-chloro-*N*-phenylbutanamide **E7** (78.8 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **141** as a colorless oil (45.6 mg, 85% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 93/7, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.27 min, t_R (minor) = 16.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.60 – 7.56 (m, 2H), 7.38 – 7.26 (m, 7H), 7.12 – 7.07 (m, 1H), 3.79 (q, *J* = 13.1 Hz, 2H), 3.21 (dd, *J* = 7.4, 5.0 Hz, 1H), 1.92 – 1.65 (m, 3H), 0.98 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 139.2, 137.7, 128.9, 128.7, 128.0, 127.4, 124.0, 119.3, 64.3, 53.0, 26.6, 10.2.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1646.

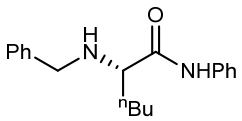
(*S*)-2-morpholino-*N*-phenylbutanamide (142)



142

According to **General Procedure H** with 2-chloro-*N*-phenylbutanamide **E7** (59.1 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **142** as a colorless oil (49.5 mg, 99% yield, 96% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 18.62 min, t_R (minor) = 20.86 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.90 (s, 1H), 7.57 – 7.54 (m, 2H), 7.35 – 7.30 (m, 2H), 7.13 – 7.08 (m, 1H), 3.81 – 3.72 (m, 4H), 2.90 (dd, *J* = 7.5, 5.0 Hz, 1H), 2.69 – 2.55 (m, 4H), 1.90 – 1.72 (m, 2H), 1.03 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 137.6, 129.0, 124.1, 119.3, 71.4, 67.2, 50.9, 21.2, 10.8.
HRMS (ESI) m/z calcd. for $C_{14}H_{21}N_2O_2$ $[M + H]^+$ 249.1598, found 249.1596.

(*S*)-2-(Benzylamino)-*N*-phenylhexanamide (143)

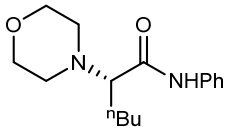


143

According to **General Procedure H** with 2-chloro-*N*-phenylhexanamide **E8** (90.0 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **143** as a colorless oil (57.8 mg, 98% yield, 90% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R

(major) = 8.61 min, t_R (minor) = 11.40 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.60 – 7.57 (m, 2H), 7.38 – 7.26 (m, 7H), 7.12 – 7.07 (m, 1H), 3.84 – 3.73 (m, 2H), 3.26 (dd, *J* = 7.8, 4.9 Hz, 1H), 2.05 (s, 1H), 1.88 – 1.79 (m, 1H), 1.69 – 1.60 (m, 1H), 1.40 – 1.25 (m, 4H), 0.88 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 139.0, 137.7, 128.9, 128.6, 128.1, 127.4, 123.9, 119.3, 63.1, 53.0, 33.3, 27.9, 22.5, 13.8.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M + H]^+$ 297.1961, found 297.1957.

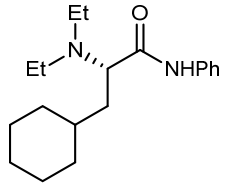
(*S*)-2-Morpholino-*N*-phenylhexanamide (144)



144

According to **General Procedure H** with 2-chloro-*N*-phenylhexanamide **E8** (67.5 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **144** as a colorless oil (54.6 mg, 99% yield, 95% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 15.43 min, t_R (major) = 17.46 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.96 (s, 1H), 7.57 – 7.55 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.09 (m, 1H), 3.81 – 3.72 (m, 4H), 2.96 (dd, *J* = 7.2, 5.4 Hz, 1H), 2.69 – 2.55 (m, 4H), 1.82 – 1.68 (m, 2H), 1.50 – 1.29 (m, 4H), 0.90 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 137.6, 129.0, 124.0, 119.3, 70.1, 67.2, 50.8, 28.6, 27.7, 22.8, 13.8.
HRMS (ESI) m/z calcd. for $C_{16}H_{25}N_2O_2$ $[M + H]^+$ 277.1911, found 277.1907.

(*S*)-3-Cyclohexyl-2-(diethylamino)-*N*-phenylpropanamide (145)

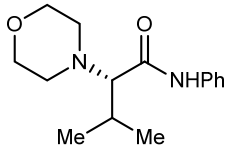


145

According to **General Procedure E** with 2-chloro-3-cyclohexyl-*N*-phenylpropanamide **E37** (79.5 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **145** as a colorless oil (53.5 mg, 89% yield, 95% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 6.14 min, t_R (minor) = 9.09 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.59 (s, 1H), 7.58 – 7.55 (m, 2H), 7.34 – 7.29 (m, 2H), 7.09 – 7.05 (m, 1H), 3.41 (dd, *J* = 8.8, 3.6 Hz, 1H), 2.67 – 2.58 (m, 2H), 2.53 – 2.45 (m, 2H), 1.86 – 1.77 (m, 3H), 1.73 – 1.63 (m, 3H), 1.62 – 1.51 (m, 1H), 1.35 – 1.16 (m, 4H), 1.11 (t, *J* = 7.1 Hz, 6H), 1.02 – 0.92 (m, 1H), 0.89 – 0.79 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 138.1, 128.9, 123.6, 119.0, 61.1, 44.5, 35.8, 34.2, 32.8, 32.6, 26.6, 26.3, 26.2, 13.9.

HRMS (ESI) *m/z* calcd. for $C_{19}H_{31}N_2O$ $[M + H]^+$ 303.2431, found 303.2428.

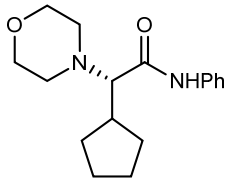
(*S*)-3-Methyl-2-morpholino-*N*-phenylbutanamide (146)



146

According to **General Procedure H** with 2-chloro-3-methyl-*N*-phenylbutanamide **E38** (63.3 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **146** as a colorless oil (18.9 mg, 36% yield, 87% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.16 min, t_R (minor) = 16.98 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (s, 1H), 7.56 – 7.53 (m, 2H), 7.36 – 7.31 (m, 2H), 7.13 – 7.09 (m, 1H), 3.80 – 3.72 (m, 4H), 2.74 (d, *J* = 5.0 Hz, 1H), 2.66 – 2.54 (m, 4H), 2.29 – 2.18 (m, 1H), 1.09 (d, *J* = 6.9 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.2, 137.4, 129.0, 124.2, 119.6, 76.0, 67.2, 51.4, 26.4, 20.2, 17.0.
HRMS (ESI) *m/z* calcd. for $C_{15}H_{23}N_2O_2$ $[M + H]^+$ 263.1754, found 263.1749.

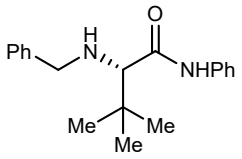
(*S*)-2-Cyclopentyl-2-morpholino-*N*-phenylacetamide (147)



147

According to **General Procedure H** with 2-chloro-2-cyclopentyl-*N*-phenylacetamide **E39** (71.1 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.2 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **147** as a colorless oil (32.8 mg, 57% yield, 81% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.7 mL/min, λ = 254 nm), t_R (major) = 23.92 min, t_R (minor) = 26.42 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 7.55 – 7.52 (m, 2H), 7.35 – 7.30 (m, 2H), 7.12 – 7.08 (m, 1H), 3.78 – 3.70 (m, 4H), 2.91 (d, *J* = 6.8 Hz, 1H), 2.72 – 2.60 (m, 4H), 2.32 – 2.22 (m, 1H), 1.89 – 1.81 (m, 1H), 1.72 – 1.58 (m, 4H), 1.56 – 1.39 (m, 3H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 170.2, 137.5, 129.0, 124.1, 119.6, 74.5, 67.3, 51.3, 38.8, 30.8, 28.9, 25.3, 25.0.
HRMS (ESI) m/z calcd. for $C_{17}H_{25}N_2O_2$ $[M + H]^+$ 289.1911, found 289.1905.

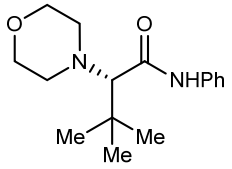
(*S*)-2-(Benzylamino)-3,3-dimethyl-*N*-phenylbutanamide (148)



148

According to **General Procedure H** with 2-chloro-3,3-dimethyl-*N*-phenylbutanamide **E40** (90.0 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **148** as a colorless oil (46.9 mg, 79% yield, 87% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 12.55 min, t_R (minor) = 14.13 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.13 (s, 1H), 7.60 – 7.56 (m, 2H), 7.38 – 7.27 (m, 7H), 7.12 – 7.08 (m, 1H), 3.82 (d, *J* = 13.1 Hz, 1H), 3.66 (d, *J* = 13.1 Hz, 1H), 2.95 (s, 1H), 1.85 (s, 1H), 1.04 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 139.3, 137.7, 129.0, 128.6, 128.2, 127.4, 124.0, 119.4, 72.6, 53.4, 34.1, 27.2.
HRMS (ESI) *m/z* calcd. for $C_{19}H_{25}N_2O$ $[M + H]^+$ 297.1961, found 297.1957.

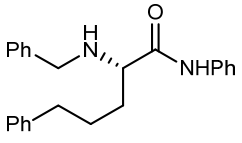
(*S*)-3,3-Dimethyl-2-morpholino-*N*-phenylbutanamide (149)



149

According to **General Procedure H** with 2-chloro-3,3-dimethyl-*N*-phenylbutanamide **E40** (67.5 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **149** as a colorless oil (40.8 mg, 74% yield, 87% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 7.50 min, t_R (major) = 10.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (s, 1H), 7.53 – 7.50 (m, 2H), 7.35 – 7.30 (m, 2H), 7.14 – 7.09 (m, 1H), 3.76 – 3.68 (m, 4H), 2.91 – 2.86 (m, 2H), 2.71 – 2.66 (m, 2H), 2.64 (s, 1H), 1.12 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 137.4, 129.0, 124.4, 120.0, 79.0, 67.6, 53.2, 35.3, 27.9.
HRMS (ESI) *m/z* calcd. for $C_{16}H_{25}N_2O_2$ $[M + H]^+$ 277.1911, found 277.1907.

(*S*)-2-(Benzylamino)-*N*,5-diphenylpentanamide (150)

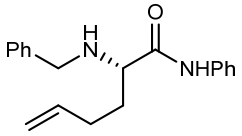


150

According to **General Procedure H** with 2-chloro-*N*,5-diphenylpentanamide **E10** (114.8 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the

reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **150** as a colorless oil (63.1 mg, 88% yield, 85% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 17.07 min, t_R (minor) = 26.52 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.58 – 7.56 (m, 2H), 7.36 – 7.22 (m, 9H), 7.18 – 7.16 (m, 1H), 7.15 – 7.07 (m, 3H), 3.81 – 3.70 (m, 2H), 3.30 – 3.27 (m, 1H), 2.73 (s, 1H), 2.59 (t, *J* = 7.1 Hz, 2H), 1.89 – 1.81 (m, 1H), 1.75 – 1.63 (m, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 141.6, 138.9, 137.6, 129.0, 128.7, 128.34, 128.32, 128.1, 127.5, 125.9, 124.0, 119.3, 62.9, 52.9, 35.5, 33.2, 27.6.
HRMS (ESI) m/z calcd. for $C_{24}H_{27}N_2O$ $[M + H]^+$ 359.2118, found 359.2112.

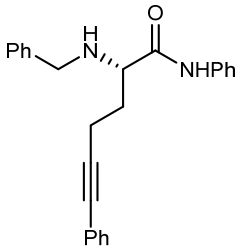
(*S*)-2-(Benzylamino)-*N*-phenylhex-5-enamide (151)



151

According to **General Procedure H** with 2-chloro-*N*-phenylhex-5-enamide **E11** (89.2 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **151** as a colorless oil (45.9 mg, 78% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.24 min, t_R (minor) = 18.63 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.36 (s, 1H), 7.59 – 7.57 (m, 2H), 7.39 – 7.27 (m, 7H), 7.13 – 7.08 (m, 1H), 5.84 – 5.74 (m, 1H), 5.06 – 4.98 (m, 2H), 3.84 – 3.74 (m, 2H), 3.28 (dd, *J* = 8.0, 4.7 Hz, 1H), 2.26 – 2.11 (m, 2H), 2.01 – 1.92 (m, 1H), 1.78 – 1.71 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 139.2, 137.7, 137.3, 129.0, 128.7, 128.1, 127.5, 124.0, 119.3, 115.7, 62.7, 53.0, 32.8, 30.3.
HRMS (ESI) m/z calcd. for $C_{19}H_{23}N_2O$ $[M + H]^+$ 295.1805, found 295.1801.

(*S*)-2-(Benzylamino)-*N*,6-diphenylhex-5-ynamide (152)

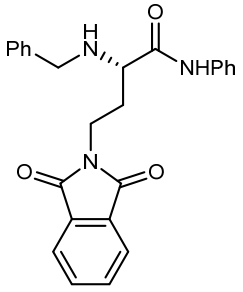


152

According to **General Procedure H** with 2-chloro-*N*,6-diphenylhex-5-ynamide **E12** (118.8 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **152** as a colorless oil (37.6 mg, 51% yield, 94% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 28.83 min, t_R (minor) = 33.14 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.44 (s, 1H), 7.60 – 7.57 (m, 2H), 7.35 – 7.22 (m, 12H), 7.13 –

7.08 (m, 1H), 3.84 (s, 2H), 3.46 (dd, *J* = 8.0, 4.6 Hz, 1H), 2.67 – 2.53 (m, 2H), 2.31 (s, 1H), 2.23 – 2.15 (m, 1H), 1.99 – 1.90 (m, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.8, 139.0, 137.6, 131.5, 129.0, 128.7, 128.2, 128.1, 127.8, 127.4, 124.1, 123.2, 119.4, 88.7, 82.0, 63.0, 53.0, 31.8, 16.8.
HRMS (ESI) m/z calcd. for $C_{25}H_{25}N_2O$ $[M + H]^+$ 369.1961, found 369.1955.

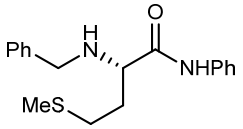
(*S*)-2-(Benzylamino)-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide (153)



153

According to **General Procedure H** with 2-chloro-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide **E13** (136.8 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **153** as a colorless oil (47.9 mg, 58% yield, 88% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 214 nm), t_R (major) = 114.44 min, t_R (minor) = 131.36 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.82 – 7.77 (m, 2H), 7.71 – 7.65 (m, 2H), 7.55 – 7.52 (m, 2H), 7.36 – 7.22 (m, 7H), 7.09 – 7.05 (m, 1H), 3.87 – 3.75 (m, 4H), 3.27 (t, *J* = 6.3 Hz, 1H), 2.26 – 2.17 (m, 1H), 2.14 – 2.06 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 168.4, 139.1, 137.6, 134.0, 131.8, 128.9, 128.6, 128.1, 127.4, 124.0, 123.3, 119.3, 60.4, 52.4, 34.3, 31.4.
HRMS (ESI) m/z calcd. for $C_{25}H_{24}N_3O_3$ $[M + H]^+$ 414.1812, found 414.1806.

(*S*)-2-(Benzylamino)-4-(methylthio)-*N*-phenylbutanamide (154)

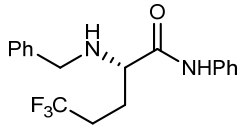


154

According to **General Procedure H** with 2-chloro-4-(methylthio)-*N*-phenylbutanamide **E15** (97.2 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **154** as a colorless oil (47.2 mg, 75% yield, 85% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 23.29 min, t_R (minor) = 28.02 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 1H), 7.59 – 7.56 (m, 2H), 7.39 – 7.27 (m, 7H), 7.14 – 7.09 (m, 1H), 3.86 – 3.77 (m, 2H), 3.41 (dd, *J* = 7.6, 5.1 Hz, 1H), 2.67 – 2.56 (m, 2H), 2.22 – 2.12 (m, 1H), 2.11 (s, 3H), 1.98 – 1.89 (m, 1H), 1.31 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 139.1, 137.6, 129.0, 128.8, 128.1, 127.6, 124.2, 119.4, 62.4, 52.9, 32.5, 30.9, 15.4.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2OS$ $[M + H]^+$ 315.1526, found 315.1520.

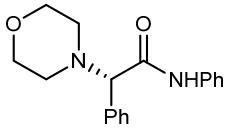
(*S*)-2-(Benzylamino)-5,5,5-trifluoro-*N*-phenylpentanamide (155)



155

According to **General Procedure H** with 2-chloro-5,5,5-trifluoro-*N*-phenylpentanamide **E16** (106.0 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **155** as a colorless oil (52.8 mg, 79% yield, 97% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.55 min, t_R (minor) = 10.57 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (s, 1H), 7.57 – 7.54 (m, 2H), 7.40 – 7.28 (m, 7H), 7.15 – 7.11 (m, 1H), 3.87 – 3.76 (m, 2H), 3.30 (t, *J* = 6.5 Hz, 1H), 2.33 – 2.16 (m, 2H), 2.07 – 1.90 (m, 2H), 1.73 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 138.7, 137.4 129.1, 128.9, 128.1, 127.8, 126.8 (q, *J* = 274.6 Hz), 124.5, 119.5, 61.4, 52.7, 30.5 (q, *J* = 29.0 Hz), 26.1 (q, *J* = 2.8 Hz)
^{19}F NMR (376 MHz, CDCl3) δ -66.43 (s, 3F).
HRMS (ESI) *m/z* calcd. for $C_{18}H_{20}F_3N_2O$ $[M + H]^+$ 337.1522, found 337.1517.

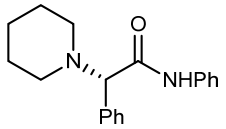
(*S*)-2-Morpholino-*N*,2-diphenylacetamide (156)



156

According to **General Procedure F** with 2-chloro-*N*,2-diphenylacetamide **E18** (73.5 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **156** as a white solid (52.6 mg, 89% yield, 94% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.73 min, t_R (major) = 9.89 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.06 (s, 1H), 7.58 – 7.55 (m, 2H), 7.37 – 7.30 (m, 7H), 7.13 – 7.09 (m, 1H), 3.96 (s, 1H), 3.79 – 3.73 (m, 4H), 2.55 – 2.47 (m, 4H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 137.5, 134.7, 129.0, 128.83, 128.77, 128.5, 124.3, 119.5, 76.7, 67.0, 52.1.
HRMS (ESI) *m/z* calcd. for $C_{18}H_{21}N_2O_2$ $[M + H]^+$ 297.1598, found 297.1602.

(*S*)-*N*,2-Diphenyl-2-(piperidin-1-yl)acetamide (157)



157

According to **General Procedure F** with 2-chloro-*N*,2-diphenylacetamide **E18** (73.5 mg, 0.30 mmol, 1.5 equiv.) and piperidine **A55** (17.0 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **156** as a white solid (50.3 mg, 85% yield, 94% e.e.).

HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.35 min, t_R (minor) = 11.44 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.44 (s, 1H), 7.61 – 7.57 (m, 2H), 7.34 – 7.29 (m, 7H), 7.11 – 7.07 (m, 1H), 4.05 (s, 1H), 2.51 – 2.42 (m, 4H), 1.66 – 1.61 (m, 4H), 1.48 – 1.42 (m, 2H).

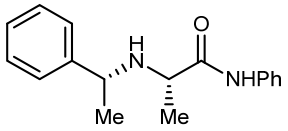
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 137.8, 135.0, 129.2, 129.0, 128.4, 128.1, 124.0, 119.4, 76.3, 52.6, 26.3, 24.0.

HRMS (ESI) *m/z* calcd. for $C_{19}H_{23}N_2O$ $[M + H]^+$ 295.1805, found 295.1810.

7. Procedure for synthetic applications

Catalyst-controlled stereoselectivity in the N-alkylation of chiral aliphatic amines

(*S*)-*N*-Phenyl-2-(((*R*)-1-phenylethyl)amino)propanamide (158)



158

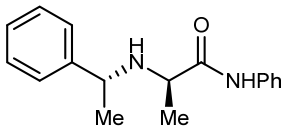
According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (*R*)-1-phenylethan-1-amine **A100** (24.2 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **158** as a colorless oil (47.0 mg, 88% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 7.45 – 7.42 (m, 2H), 7.34 – 7.19 (m, 7H), 7.08 – 7.03 (m, 1H), 3.86 (q, *J* = 6.6 Hz, 1H), 3.27 (q, *J* = 7.0 Hz, 1H), 1.59 (s, 1H), 1.45 (d, *J* = 6.6 Hz, 3H), 1.41 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 144.2, 137.7, 128.8, 128.7, 127.4, 126.5, 123.8, 119.2, 57.2, 56.6, 23.6, 19.1.

HRMS (ESI) m/z calcd. For $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1651.

(*R*)-*N*-Phenyl-2-(((*R*)-1-phenylethyl)amino)propanamide ((*R*)-158)



(*R*)-**158**

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), (*R*)-1-phenylethan-1-amine **A100** (24.2 mg, 0.20 mmol, 1.0 equiv.), and (8*R*,9*R*)-**L*4** (15.4 mg, 0.03 mmol, 15 mol%) for 72 h, the reaction mixture was purified by flash

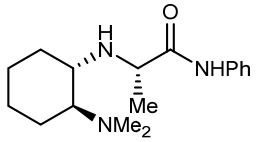
column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product (*R*)-**158** as a white solid (45.8 mg, 85% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 7.62 – 7.61 (m, 2H), 7.36 – 7.32 (m, 4H), 7.28 – 7.24 (m, 3H), 7.13 – 7.09 (m, 1H), 3.75 (q, *J* = 6.7 Hz, 1H), 3.13 (q, *J* = 7.0 Hz, 1H), 1.79 (s, 1H), 1.40 (d, *J* = 6.7 Hz, 3H), 1.28 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.5, 144.4, 137.8, 129.0, 128.7, 127.4, 126.2, 124.0, 119.3, 57.4, 56.6, 24.1, 20.2.

HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1650.

(*S*)-2-(((1*S*,2*S*)-2-(Dimethylamino)cyclohexyl)amino)-*N*-phenylpropanamide (159)



159

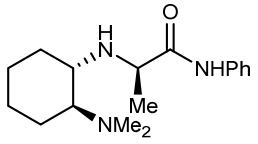
According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (1*S*,2*S*)-N^1, N^1-dimethylcyclohexane-1,2-diamine **A101** (28.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product **159** as a colorless oil (42.5 mg, 73% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.88 (s, 1H), 7.68 – 7.65 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.05 (m, 1H), 3.25 (q, *J* = 7.0 Hz, 1H), 3.01 (s, 1H), 2.50 – 2.38 (m, 2H), 2.33 (s, 6H), 2.02 – 1.97 (m, 1H), 1.87 – 1.79 (m, 2H), 1.67 – 1.62 (m, 1H), 1.41 (d, *J* = 7.0 Hz, 3H), 1.23 – 1.02 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 174.4, 138.1, 128.8, 123.8, 119.5, 67.0, 58.5, 57.4, 39.8, 32.6, 25.1, 24.4, 20.9, 19.6.

HRMS (ESI) m/z calcd. For $C_{17}H_{28}N_3O$ $[M + H]^+$ 290.2227, found 290.2228.

(*R*)-2-(((1*S*,2*S*)-2-(Dimethylamino)cyclohexyl)amino)-*N*-phenylpropanamide ((*R*)-159)



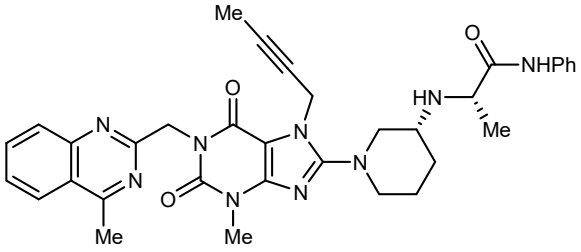
(*R*)-**159**

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), (1*S*,2*S*)-N^1, N^1-dimethylcyclohexane-1,2-diamine **A101** (28.4 mg, 0.20 mmol, 1.0 equiv.), and (8*R*,9*R*)-**L*5** (15.8 mg, 0.03 mmol, 15 mol%) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 20/1) to yield the product (*R*)-**159** as a colorless oil (43.6 mg, 75% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.40 (s, 1H), 7.97 – 7.95 (m, 2H), 7.31 – 7.27 (m, 2H), 7.08 – 7.04 (m, 1H), 5.34 (s, 1H), 3.92 (q, *J* = 6.8 Hz, 1H), 3.11 – 3.05 (m, 1H), 2.64 – 2.40 (m, 8H), 1.99 – 1.95 (m, 1H), 1.89 – 1.85 (m, 1H), 1.81 – 1.77 (m, 1H), 1.56 (d, *J* = 6.8 Hz, 3H), 1.38 – 1.16 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 138.5, 128.6, 123.8, 119.9, 67.6, 56.1, 55.8, 40.0, 30.2, 24.19, 24.16, 22.6, 20.0.
HRMS (ESI) m/z calcd. For $C_{17}H_{28}N_3O$ $[M + H]^+$ 290.2227, found 290.2230.

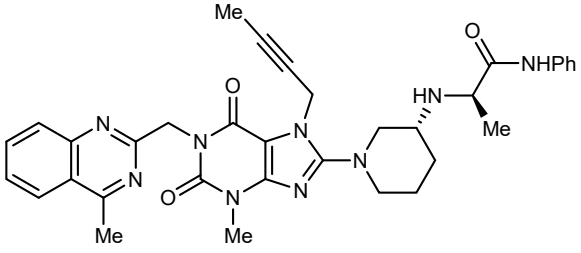
(*S*)-2-(((*R*)-1-(7-(But-2-yn-1-yl)-3-methyl-1-((4-methylquinazolin-2-yl)methyl)-2,6-dioxo-2,3,6,7-tetrahydro-1*H*-purin-8-yl)piperidin-3-yl)amino)-*N*-phenylpropanamide (160)



160

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), linagliptin **A102** (94.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 20/1) to yield the product **160** as a white solid (115.3 mg, 93% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.99 – 7.97 (m, 1H), 7.86 – 7.84 (m, 1H), 7.75 – 7.71 (m, 1H), 7.57 – 7.54 (m, 2H), 7.52 – 7.47 (m, 1H), 7.31 – 7.27 (m, 2H), 7.08 – 7.04 (m, 1H), 5.54 (s, 2H), 4.86 – 4.84 (m, 2H), 3.68 – 3.64 (m, 1H), 3.53 – 3.42 (m, 5H), 3.26 – 3.19 (m, 1H), 3.14 – 3.08 (m, 1H), 2.97 – 2.92 (m, 1H), 2.86 (s, 3H), 2.04 – 1.97 (m, 1H), 1.94 – 1.87 (m, 1H), 1.80 – 1.67 (m, 4H), 1.55 – 1.49 (m, 1H), 1.44 (d, J = 6.9 Hz, 3H), 1.26 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 168.3, 161.0, 155.6, 154.1, 151.6, 149.7, 147.6, 137.4, 133.1, 128.8, 128.6, 126.5, 124.7, 124.0, 122.9, 119.3, 104.4, 81.5, 73.0, 56.5, 55.7, 52.8, 50.4, 46.1, 35.5, 30.2, 29.4, 22.8, 21.6, 20.1, 3.5.
HRMS (ESI) *m/z* calcd. for $C_{34}H_{38}N_9O_3$ $[M + H]^+$ 620.3092, found 620.3094.

(*R*)-2-(((*R*)-1-(7-(But-2-yn-1-yl)-3-methyl-1-((4-methylquinazolin-2-yl)methyl)-2,6-dioxo-2,3,6,7-tetrahydro-1*H*-purin-8-yl)piperidin-3-yl)amino)-*N*-phenylpropanamide ((*R*)-160)

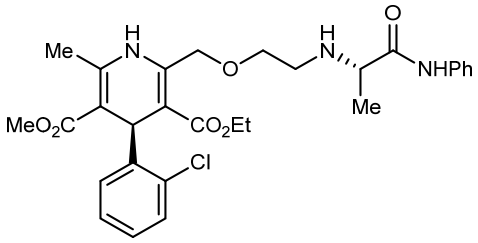


(*R*)-**160**

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), linagliptin **A102** (94.4 mg, 0.20 mmol, 1.0 equiv.), and (8*R*,9*R*)-**L*5** (15.8 mg, 0.03 mmol, 15 mol%) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 20/1) to yield the product (*R*)-**160** as a white solid (119.1 mg, 96% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.99 – 7.97 (m, 1H), 7.85 – 7.83 (m, 1H), 7.75 – 7.71 (m, 1H), 7.60 – 7.54 (m, 2H), 7.52 – 7.48 (m, 1H), 7.33 – 7.29 (m, 2H), 7.10 – 7.07 (m, 1H), 5.57 (s, 2H), 4.90 – 4.80 (m, 2H), 3.81 – 3.77 (m, 1H), 3.59 – 3.44 (m, 5H), 3.22 – 3.12 (m, 1H), 3.04 – 2.99 (m, 1H), 2.88 – 2.82 (m, 4H), 2.03 – 1.97 (m, 1H), 1.93 – 1.88 (m, 1H), 1.81 – 1.68 (m, 4H), 1.53 – 1.46 (m, 1H), 1.39 (d, J = 6.9 Hz, 3H), 1.26 (s, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 168.3, 160.9, 155.8, 154.2, 151.6, 149.7, 147.7, 137.6, 133.1, 128.8, 128.6, 126.5, 124.7, 123.9, 122.9, 119.0, 104.4, 81.5, 73.1, 56.3, 54.9, 52.7, 50.4, 46.1, 35.5, 31.6, 29.6, 23.0, 21.6, 20.1, 3.5.
HRMS (ESI) *m/z* calcd. for $C_{34}H_{38}N_9O_3$ $[M + H]^+$ 620.3092, found 620.3096.

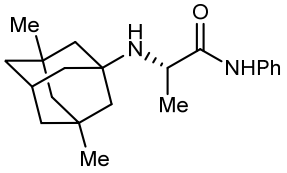
Late-stage N-alkylation of amine drug molecules
3-Ethyl 5-methyl (*S*)-4-(2-chlorophenyl)-6-methyl-2-((2-(((*S*)-1-oxo-1-(phenylamino)propan-2-yl)amino)ethoxy)methyl)-1,4-dihydropyridine-3,5-dicarboxylate (161)



161

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and (*S*)-amlodipine **A103** (81.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc /CH_3OH = 50/1) to yield the product **161** as a yellowish oil (84.6 mg, 76% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.27 (s, 1H), 7.59 – 7.57 (m, 2H), 7.38 – 7.36 (m, 1H), 7.32 – 7.28 (m, 2H), 7.25 – 7.22 (m, 1H), 7.14 – 7.02 (m, 4H), 5.41 (s, 1H), 4.81 – 4.70 (m, 2H), 4.10 – 3.98 (m, 2H), 3.73 – 3.66 (m, 2H), 3.62 (s, 3H), 3.39 – 3.33 (m, 1H), 3.06 – 3.00 (m, 1H), 2.89 – 2.83 (m, 1H), 2.30 (s, 3H), 1.89 (s, 1H), 1.43 (d, J = 7.0 Hz, 3H), 1.18 (t, J = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 167.9, 167.0, 145.6, 144.8, 143.9, 137.7, 132.3, 131.4, 129.2, 129.0, 127.3, 126.8, 124.1, 119.2, 103.9, 101.8, 71.0, 68.0, 59.8, 59.0, 50.7, 47.9, 37.2, 19.8, 19.3, 14.2.
HRMS (ESI) m/z calcd. for $C_{29}H_{35}ClN_3O_6$ $[M + H]^+$ 556.2209, found 556.2206.

(2*S*)-2-((3,5-Dimethyladamantan-1-yl)amino)-*N*-phenylpropanamide (162)

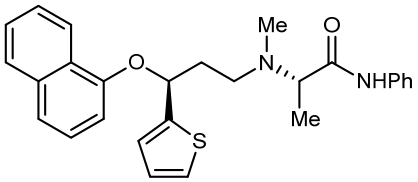


162

According to **General Procedure B** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), memantine hydrochloride **A104** (43.0 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.), anhydrous NMP (2.8 mL),

and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **162** as a colorless oil (59.7 mg, 91% yield, 94% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.39 min, t_R (minor) = 17.83 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.86 (s, 1H), 7.60 – 7.58 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.07 (m, 1H), 3.49 (q, *J* = 7.1 Hz, 1H), 2.13 – 2.10 (m, 1H), 1.54 – 1.49 (m, 1H), 1.43 – 1.33 (m, 6H), 1.28 – 1.21 (m, 6H), 1.18 – 1.06 (m, 3H), 0.83 (s, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.7, 137.8, 128.9, 123.8, 119.1, 53.3, 50.7, 49.2, 49.0, 42.7, 42.6, 41.4, 32.33, 32.30, 30.1, 30.0, 21.6.
HRMS (ESI) m/z calcd. for $C_{21}H_{31}N_2O$ $[M + H]^+$ 327.2431, found 327.2429.

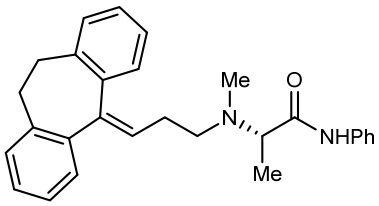
(*S*)-2-(Methyl((*S*)-3-(naphthalen-1-yloxy)-3-(thiophen-2-yl)propyl)amino)-*N*-phenylpropanamide (163)



163

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), duloxetine hydrochloride **A105** (66.6 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **163** as a colorless oil (60.5 mg, 68% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.15 (s, 1H), 8.27 – 8.25 (m, 1H), 7.76 – 7.74 (m, 1H), 7.47 – 7.36 (m, 5H), 7.30 – 7.26 (m, 2H), 7.24 – 7.19 (m, 2H), 7.09 – 7.04 (m, 2H), 6.93 – 6.91 (m, 1H), 6.82 – 6.80 (m, 1H), 5.74 – 5.71 (m, 1H), 3.39 – 3.33 (m, 1H), 2.94 – 2.81 (m, 2H), 2.54 – 2.26 (m, 5H), 1.28 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 153.2, 144.7, 137.8, 134.6, 128.9, 127.5, 126.7, 126.4, 126.0, 125.6, 125.4, 124.9, 124.7, 123.9, 121.8, 120.9, 119.4, 106.8, 74.5, 63.8, 51.1, 37.9, 37.3, 9.2.
HRMS (ESI) m/z calcd. for $C_{27}H_{29}N_2O_2S$ $[M + H]^+$ 445.1944, found 445.1944.

(*S*)-2-((3-(10,11-Dihydro-5*H*-dibenzo[*a*,*d*][7]annulen-5-ylidene)propyl)(methyl)amino)-*N*-phenylpropanamide (164)

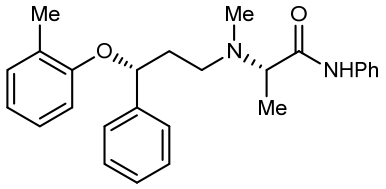


164

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), nortriptyline hydrochloride **A106** (59.8 mg, 0.20 mmol, 1.0 equiv.), and

Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **164** as a colorless oil (41.3 mg, 50% yield, 92% e.e.).
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 26.35 min, t_R (major) = 27.84 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.32 – 9.28 (m, 1H), 7.30 – 7.05 (m, 12H), 7.02 – 6.96 (m, 1H), 5.93 – 5.87 (m, 1H), 3.38 (q, *J* = 12.8 Hz, 1H), 3.28 – 3.22 (m, 2H), 2.99 – 2.72 (m, 2H), 2.57 – 2.28 (m, 4H), 2.10 (s, 3H), 1.22 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 171.8, 144.7, 144.5, 140.8, 139.8, 139.4, 137.8, 137.0, 130.2, 128.9, 128.8, 128.6, 128.2, 128.0, 127.6, 127.3, 126.2, 125.7, 123.5, 118.7, 64.4, 63.6, 54.8, 52.4, 38.5, 36.7, 33.7, 32.0, 27.7, 10.6, 8.3.
HRMS (ESI) *m/z* calcd. for $C_{28}H_{31}N_2O$ $[M + H]^+$ 411.2431, found 411.2435.

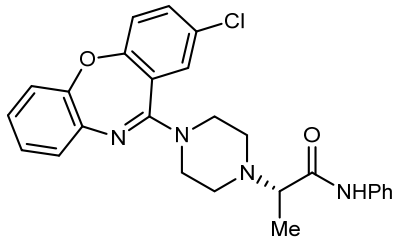
(*S*)-2-(Methyl((*R*)-3-phenyl-3-(*o*-tolyloxy)propyl)amino)-*N*-phenylpropanamide (165)



165

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), atomoxetine hydrochloride **A107** (58.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography (petroleum ether/EtOAc = 1/2) to yield the product **165** as a colorless oil (66.0 mg, 82% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.25 (s, 1H), 7.47 – 7.45 (m, 2H), 7.33 – 7.21 (m, 7H), 7.10 – 7.05 (m, 2H), 6.96 – 6.91 (m, 1H), 6.79 – 6.75 (m, 1H), 6.58 – 6.56 (m, 1H), 5.21 (dd, *J* = 8.6, 4.1 Hz, 1H), 3.56 – 3.24 (m, 1H), 2.92 – 2.65 (m, 2H), 2.33 (s, 3H), 2.27 (s, 3H), 2.25 – 2.11 (m, 2H), 1.29 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 155.8, 141.7, 137.8, 130.7, 128.9, 128.7, 127.6, 126.9, 126.6, 125.6, 123.9, 120.4, 119.2, 112.6, 77.6, 63.2, 51.0, 38.3, 37.0, 16.4, 9.7.
HRMS (ESI) m/z calcd. for $C_{26}H_{31}N_2O_2$ $[M + H]^+$ 403.2380, found 403.2381.

(*S*)-2-(4-(2-Chlorodibenzo[*b*,*f*][1,4]oxazepin-11-yl)piperazin-1-yl)-*N*-phenylpropanamide (166)

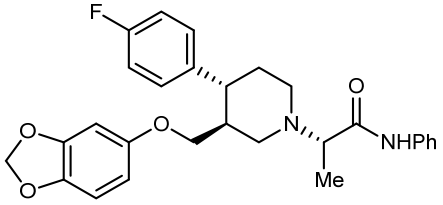


166

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and amoxapine **A108** (62.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction

mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/3) to yield the product **166** as a colorless oil (88.3 mg, 96% yield, 92% e.e.).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 15.23 min, t_R (major) = 17.76 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.57 – 7.55 (m, 2H), 7.40 – 7.37 (m, 1H), 7.34 – 7.30 (m, 3H), 7.19 – 7.15 (m, 2H), 7.11 – 7.07 (m, 3H), 7.02 – 6.98 (m, 1H), 3.60 – 3.58 (m, 4H), 3.28 (q, *J* = 7.0 Hz, 1H), 2.77 – 2.68 (m, 4H), 1.36 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 159.2, 158.9, 151.7, 139.8, 137.6, 132.6, 130.2, 129.0, 128.9, 127.1, 125.8, 124.8, 124.0, 122.7, 120.1, 119.2, 64.5, 49.6, 47.8, 11.4.
HRMS (ESI) m/z calcd. for $C_{26}H_{26}ClN_4O_2$ $[M + H]^+$ 461.1739, found 461.1736.

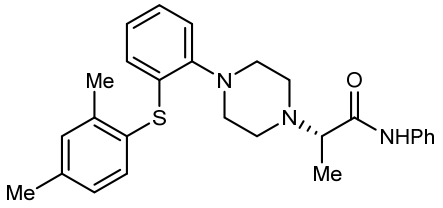
(*S*)-2-((3*S*,4*R*)-3-((benzo[*d*][1,3]dioxol-5-yloxy)methyl)-4-(4-fluorophenyl)piperidin-1-yl)-*N*-phenylpropanamide (167)



167

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), paroxetine hydrochloride **A109** (73.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **167** as a colorless oil (90.5 mg, 95% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.33 (s, 1H), 7.59 – 7.56 (m, 2H), 7.36 – 7.32 (m, 2H), 7.22 – 7.17 (m, 2H), 7.13 – 7.09 (m, 1H), 7.03 – 6.97 (m, 2H), 6.58 (d, *J* = 8.4 Hz, 1H), 6.29 (d, *J* = 2.5 Hz, 1H), 6.09 (dd, *J* = 8.5, 2.5 Hz, 1H), 5.86 – 5.85 (m, 2H), 3.61 – 3.58 (m, 1H), 3.49 – 3.44 (m, 1H), 3.33 – 3.31 (m, 1H), 3.21 – 3.18 (m, 1H), 3.00 – 2.97 (m, 1H), 2.60 – 2.49 (m, 2H), 2.34 – 2.17 (m, 2H), 1.95 – 1.89 (m, 2H), 1.38 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 1621.6 (d, *J* = 243.3 Hz), 154.0, 148.1, 141.6, 139.0, 137.8, 129.0, 128.7 (d, *J* = 7.7 Hz), 124.0, 119.5, 115.5 (d, *J* = 21.3 Hz), 107.8, 105.5, 101.0, 97.9, 69.2, 64.8, 53.4, 51.4, 43.8, 42.7, 34.8, 11.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ -116.04 (s, 1F).
HRMS (ESI) *m/z* calcd. for $C_{28}H_{30}FN_2O_4$ $[M + H]^+$ 477.2184, found 477.2190.

(*S*)-2-(4-(2-((2,4-Dimethylphenyl)thio)phenyl)piperazin-1-yl)-*N*-phenylpropanamide (168)

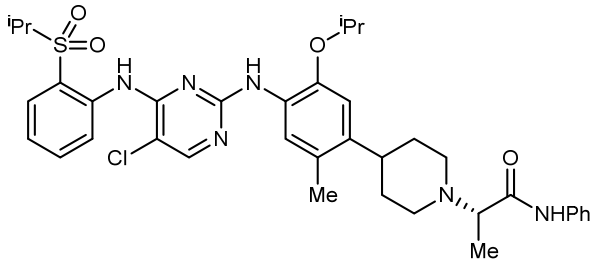


168

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30

mmol, 1.5 equiv.) and vortioxetine **A110** (59.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/2) to yield the product **168** as a colorless oil (74.9 mg, 84% yield, 92% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.36 min, t_R (minor) = 12.64 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 7.62 – 7.59 (m, 2H), 7.38 – 7.31 (m, 3H), 7.16 – 7.13 (m, 1H), 7.12 – 7.06 (m, 3H), 7.03 – 7.01 (m, 1H), 6.91 – 6.85 (m, 1H), 6.55 – 6.53 (m, 1H), 3.29 (q, *J* = 7.0 Hz, 1H), 3.23 – 3.11 (m, 4H), 2.86 – 2.81 (m, 2H), 2.78 – 2.73 (m, 2H), 2.35 (s, 3H), 2.31 (s, 3H), 1.37 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 148.7, 142.3, 139.2, 137.9, 136.0, 134.5, 131.6, 129.0, 127.8, 126.3, 125.4, 124.5, 123.9, 119.7, 119.2, 64.5, 52.0, 50.1, 21.1, 20.5, 11.4.
HRMS (ESI) m/z calcd. for $C_{27}H_{32}N_3OS$ $[M + H]^+$ 446.2261, found 446.2261.

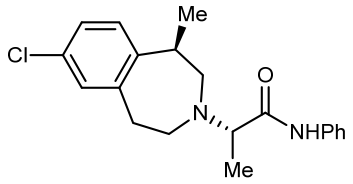
(*S*)-2-(4-(4-((5-Chloro-4-((2-(isopropylsulfonyl)phenyl)amino)pyrimidin-2-yl)amino)-5-isopropoxy-2-methylphenyl)piperidin-1-yl)-*N*-phenylpropanamide (169)



169

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and ceritinib **A111** (111.4 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **169** as a colorless oil (125.5 mg, 89% yield, 93% e.e.).
HPLC analysis: Chiralcel AD (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 16.40 min, t_R (minor) = 18.99 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.52 (s, 1H), 9.36 (s, 1H), 8.59 (d, *J* = 8.4 Hz, 1H), 8.16 (s, 1H), 8.03 (s, 1H), 7.94 – 7.91 (m, 1H), 7.65 – 7.58 (m 4H), 7.36 – 7.32 (m 2H), 7.28 – 7.24 (m 1H), 7.12 – 7.08 (m 1H), 6.83 (s, 1H), 4.64 – 4.58 (m 1H), 3.31 – 3.23 (m, 2H), 3.02 – 2.93 (m, 2H), 2.75 – 2.67 (m, 1H), 2.62 – 2.56 (m, 1H), 2.40 – 2.34 (m, 1H), 2.18 (s, 3H), 1.90 – 1.65 (m, 4H), 1.41 (d, *J* = 6.1 Hz, 6H), 1.36 (d, *J* = 7.0 Hz, 3H), 1.31 (d, *J* = 6.9 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 157.3, 155.21, 155.16, 144.6, 138.3, 137.8, 137.1, 134.5, 131.1, 128.9, 127.7, 127.2, 124.7, 123.8, 123.5, 123.0, 120.7, 119.3, 111.0, 105.6, 71.7, 64.7, 55.3, 53.7, 48.1, 37.9, 33.3, 33.2, 22.2, 18.8, 15.2, 10.9.
HRMS (ESI) m/z calcd. for $C_{37}H_{46}ClN_6O_4S$ $[M + H]^+$ 705.2984, found 705.2982.

(*S*)-2-((*R*)-8-Chloro-1-methyl-1,2,4,5-tetrahydro-3*H*-benzo[*d*]azepin-3-yl)-*N*-phenylpropanamide (170)



170

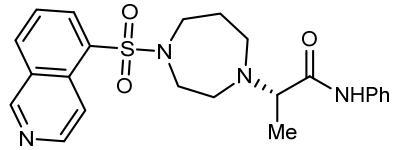
According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), lorcaserin hydrochloride **A99** (46.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **170** as a colorless oil (58.0 mg, 85% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 7.47 – 7.45 (m, 2H), 7.33 – 7.29 (m, 2H), 7.16 – 7.14 (m, 2H), 7.09 – 7.03 (m, 2H), 3.44 (q, J = 7.1 Hz, 1H), 3.18 – 3.10 (m, 1H), 3.07 – 2.91 (m, 2H), 2.86 – 2.68 (m, 3H), 2.53 – 2.48 (m, 1H), 1.39 (d, J = 7.2 Hz, 3H), 1.25 (d, J = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 146.1, 138.4, 137.8, 132.4, 130.6, 129.0, 126.6, 126.2, 123.8, 118.8, 65.7, 57.5, 52.1, 38.9, 35.3, 17.9, 9.2.

HRMS (ESI) *m/z* calcd. for $C_{20}H_{24}ClN_2O$ $[M + H]^+$ 343.1572, found 343.1576.

(*S*)-2-(4-(Isoquinolin-5-ylsulfonyl)-1,4-diazepan-1-yl)-*N*-phenylpropanamide (171)



171

According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), fasudil dihydrochloride **A112** (72.6 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (325.8 mg, 1.00 mmol, 5.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **171** as a colorless oil (64.5 mg, 74% yield, 92% e.e.).

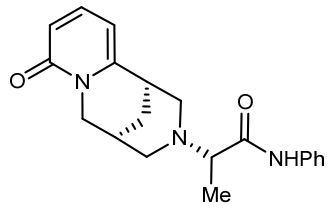
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 18.06 min, t_R (major) = 21.00 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 9.36 (d, J = 1.0 Hz, 1H), 8.72 – 8.68 (m, 1H), 8.41 – 8.37 (m, 2H), 8.22 – 8.19 (m, 1H), 7.71 – 7.65 (m, 3H), 7.36 – 7.31 (m, 2H), 7.11 – 7.07 (m, 1H), 3.58 – 3.38 (m, 5H), 2.95 – 2.78 (m, 3H), 2.74 – 2.67 (m, 1H), 2.01 – 1.90 (m, 2H), 1.31 (d, J = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 153.3, 145.1, 138.0, 134.1, 133.6, 133.5, 131.5, 129.1, 128.9, 125.8, 123.8, 119.3, 117.3, 65.5, 53.4, 51.7, 48.2, 47.2, 29.9, 9.5.

HRMS (ESI) m/z calcd. for $C_{23}H_{27}N_4O_3S$ $[M + H]^+$ 439.1798, found 439.1800.

(*S*)-2-((1*R*,5*S*)-8-Oxo-1,5,6,8-tetrahydro-2*H*-1,5-methanopyrido[1,2-*a*][1,5]diazocin-3(4*H*)-yl)-*N*-phenylpropanamide (172)



172

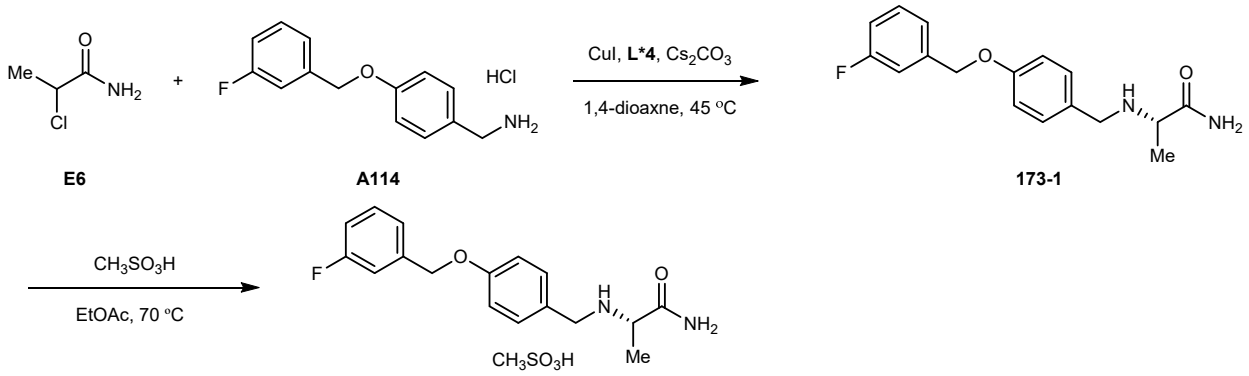
According to **General Procedure C** with 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.) and cytisine **A113** (38.0 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **172** as a colorless oil (43.9 mg, 65% yield, >20:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.28 – 7.17 (m, 5H), 7.06 – 7.00 (m, 1H), 6.57 – 6.54 (m, 1H), 5.99 – 5.97 (m, 1H), 4.28 – 4.24 (m, 1H), 4.03 – 3.97 (m, 1H), 3.26 (q, J = 7.0 Hz, 1H), 3.07 – 3.04 (m, 1H), 2.97 – 2.93 (m, 1H), 2.88 – 2.85 (m, 1H), 2.79 – 2.74 (m, 1H), 2.63 – 2.55 (m, 2H), 1.99 – 1.93 (m, 1H), 1.88 – 1.82 (m, 1H), 1.25 (d, J = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 163.4, 150.6, 139.2, 137.2, 128.6, 123.9, 119.4, 117.1, 105.0, 63.8, 59.2, 54.1, 50.1, 34.9, 28.0, 25.5, 8.6.

HRMS (ESI) m/z calcd. for $C_{20}H_{24}N_3O_2$ $[M + H]^+$ 338.1863, found 338.1863.

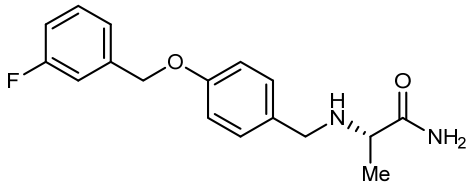
Catalytic enantioselective synthesis of Xadago



E6 **A114** **173-1**

173

(*S*)-2-((4-((3-Fluorobenzyl)oxy)benzyl)amino)propanamide (173-1)



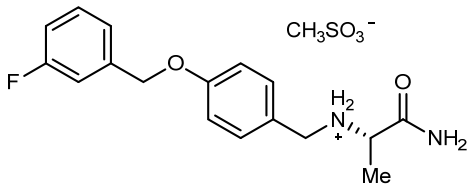
173-1

According to **General Procedure A** with 2-chloropropanamide **E6** (32.1 mg, 0.30 mmol, 1.5 equiv.), (4-((3-fluorobenzyl)oxy)phenyl)methanamine hydrochloride **A114** (53.4 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 72 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc/CH_3OH = 25/1) to yield the product **173-1** as a white solid (44.4 mg, 73% yield, 94% e.e.).

HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 214 nm), t_R (major) = 13.55 min, t_R (minor) = 23.90 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.31 (m, 1H), 7.23 – 7.09 (m, 5H), 7.03 – 6.98 (m, 1H), 6.94 – 6.90 (m, 2H), 6.06 (s, 1H), 5.04 (s, 2H), 3.70 (q, *J* = 13.0 Hz, 2H), 3.23 (q, *J* = 6.9 Hz, 1H), 1.89 (s, 1H), 1.33 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 162.9 (d, *J* = 244.8 Hz), 157.7, 139.5 (d, *J* = 7.2 Hz), 132.1, 130.1 (d, *J* = 8.1 Hz), 129.2, 122.6 (d, *J* = 3.0 Hz), 114.8, 114.7 (d, *J* = 20.3 Hz), 114.1 (d, *J* = 22.0 Hz), 69.1 (d, *J* = 1.8 Hz), 57.5, 51.8, 19.6.
^{19}F NMR (376 MHz, $CDCl_3$) δ -112.76 (s, 1F).
HRMS (ESI) m/z calcd. for $C_{17}H_{20}FN_2O_2$ $[M + H]^+$ 303.1503, found 303.1504.

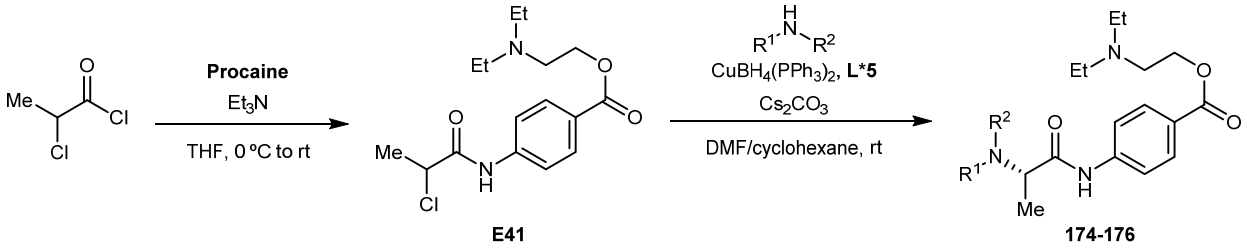
(*S*)-1-Amino-*N*-(4-((3-fluorobenzyl)oxy)benzyl)-1-oxopropan-2-aminium methanesulfonate (173)



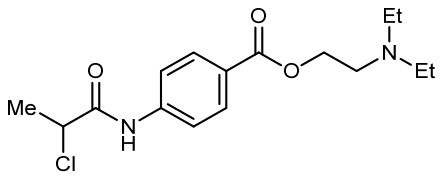
173

To a solution of **173-1** (30.2 mg, 0.1 mmol, 1.0 equiv.) in EtOAc (1.0 mL) was added methanesulfonic acid (8.0 μL, 0.12 mmol, 1.2 equiv.) at 70 °C. After being stirred for 2 h at 70 °C, the reaction mixture was cooled down to room temperature and filtered. The solid was washed with EtOAc (5 mL) and dried in vacuo to yield **173** as a white solid (33.9 mg, 85% yield).
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.02 (s, 2H), 7.93 (s, 1H), 7.63 (s, 1H), 7.47 – 7.40 (m, 3H), 7.30 – 7.26 (m, 2H), 7.18 – 7.13 (m, 1H), 7.08 – 7.06 (m, 2H), 5.17 (s, 2H), 4.06 – 3.95 (m, 2H), 3.79 – 3.74 (m, 1H), 2.33 (s, 3H), 1.42 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, DMSO-d_6) δ 171.0, 162.7 (d, *J* = 242.0 Hz), 159.0, 140.4 (d, *J* = 7.2 Hz), 132.2, 131.0 (d, *J* = 8.2 Hz), 124.4, 124.0 (d, *J* = 2.8 Hz), 115.4, 115.1 (d, *J* = 20.5 Hz), 114.7 (d, *J* = 21.7 Hz), 68.8 (d, *J* = 21.3 Hz), 54.6, 48.4, 40.2, 16.4.
^{19}F NMR (376 MHz, DMSO-d_6) δ -113.11 (s, 1F).

Modular construction of hybrid chiral amine-containing drug molecules
The synthesis of 174-176



2-(Diethylamino)ethyl 4-(2-chloropropanamido)benzoate (E41)



E41

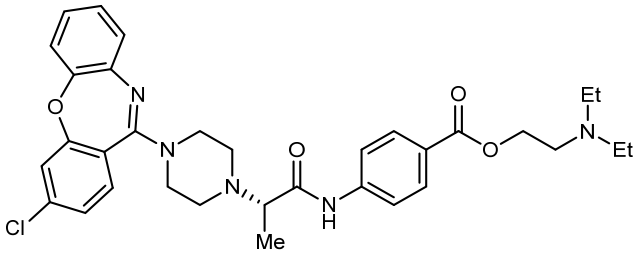
According to **General procedure 1** with 2-chloropropanoyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and procaine (2.36 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **E41** as a yellowish oil (3.07 g, 94% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 8.00 – 7.97 (m, 2H), 7.73 – 7.71 (m, 2H), 4.67 (q, *J* = 7.0 Hz, 1H), 4.56 – 4.52 (m, 2H), 3.13 – 3.10 (m, 2H), 2.90 (q, *J* = 7.1 Hz, 4H), 1.79 (d, *J* = 6.2 Hz, 3H), 1.20 (t, *J* = 7.2 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 165.5, 142.0, 130.6, 125.1, 119.2, 67.8, 61.1, 50.1, 47.2, 25.4, 10.2.

HRMS (ESI) m/z calcd. for $C_{16}H_{24}ClN_2O_3$ $[M + H]^+$ 327.1470, found 327.1469.

2-(Diethylamino)ethyl (*S*)-4-(2-(4-(3-chlorodibenzo[*b*,*f*][1,4]oxazepin-11-yl)piperazin-1-yl)propanamido)benzoate (174)



174

According to **General Procedure C** with 2-(diethylamino)ethyl 4-(2-chloropropanamido)benzoate **E41** (97.8 mg, 0.30 mmol, 1.5 equiv.), amoxapine **A108** (62.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **174** as a colorless oil (99.1 mg, 82% yield, 86% e.e.).

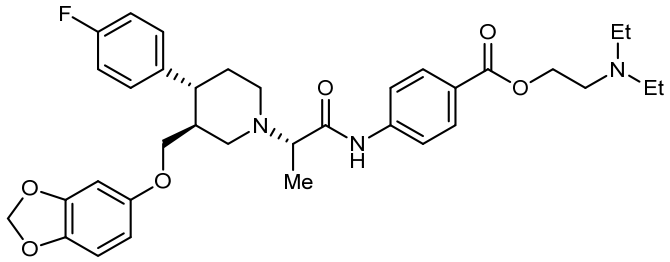
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 50/50, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 19.87 min, t_R (major) = 23.74 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.48 (s, 1H), 8.03 – 7.99 (m, 2H), 7.65 – 7.62 (m, 2H), 7.41 – 7.39 (m, 1H), 7.33 – 7.32 (m, 1H), 7.21 – 7.14 (m, 2H), 7.12 – 7.07 (m, 2H), 7.04 – 6.99 (m, 1H), 4.38 (t, *J* = 6.2 Hz, 2H), 3.74 – 3.45 (m, 4H), 3.33 (q, *J* = 7.0 Hz, 1H), 2.87 – 2.71 (m, 6H), 2.62 (q, *J* = 7.1 Hz, 4H), 1.38 (d, *J* = 7.0 Hz, 3H), 1.07 (t, *J* = 7.1 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 166.0, 159.3, 159.0, 151.8, 141.8, 139.8, 132.7, 130.9, 130.3, 128.9, 127.1, 125.8, 125.6, 124.9, 124.8, 122.8, 120.1, 118.4, 64.6, 63.3, 51.0, 49.6, 47.9, 47.8, 12.0, 11.1.

HRMS (ESI) m/z calcd. for $C_{33}H_{39}ClN_5O_4$ [M + H]+ 604.2685, found 604.2684.

2-(Diethylamino)ethyl 4-((*S*)-2-((*3S*,*4R*)-3-((benzo[*d*][1,3]dioxol-5-yloxy)methyl)-4-(4-fluorophenyl)piperidin-1-yl)propanamido)benzoate (175)



175

According to **General Procedure C** with 2-(diethylamino)ethyl 4-(2-chloropropanamido)benzoate **E41** (97.8 mg, 0.30 mmol, 1.5 equiv.), paroxetine hydrochloride **A109** (73.0 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **175** as a colorless oil (93.0 mg, 75% yield, 13:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

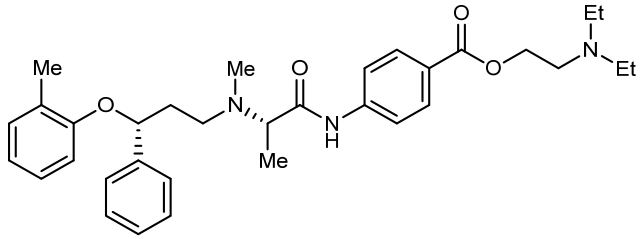
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.53 (s, 1H), 8.05 – 8.01 (m, 2H), 7.66 – 7.62 (m, 2H), 7.22 – 7.18 (m, 2H), 7.05 – 6.99 (m, 2H), 6.60 – 6.58 (m, 1H), 6.31 (d, *J* = 2.5 Hz, 0.07H), 6.28 (d, *J* = 2.5 Hz, 0.93H), 6.09 – 6.06 (m, 1H), 5.87 (s, 2H), 4.42 (t, *J* = 6.2 Hz, 2H), 3.62 – 3.59 (m, 1H), 3.49 – 3.45 (m, 1H), 3.33 (q, *J* = 7.0 Hz, 1H), 3.17 – 3.13 (m, 1H), 2.98 – 2.95 (m, 1H), 2.90 (t, *J* = 6.2 Hz, 2H), 2.68 (q, *J* = 7.2 Hz, 4H), 2.62 – 2.49 (m, 2H), 2.29 (t, *J* = 11.0 Hz, 1H), 2.22 – 2.15 (m, 1H), 1.95 – 1.89 (m, 2H), 1.37 (d, *J* = 7.0 Hz, 3H), 1.10 (t, *J* = 7.1 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 165.9, 161.6 (d, *J* = 243.3 Hz), 153.8, 148.1, 142.0, 141.6, 138.9 (d, *J* = 3.3 Hz), 130.8, 128.7 (d, *J* = 7.8 Hz), 125.2, 118.6, 114.0 (d, *J* = 20.9 Hz), 107.7, 105.4, 101.0, 97.8, 69.1, 64.8, 62.5, 53.4, 51.2, 50.7, 47.6, 43.8, 42.6, 34.9, 11.4, 10.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -115.94 (s, 0.07F), -115.96 (s, 0.93F).

HRMS (ESI) m/z calcd. for $C_{35}H_{43}FN_3O_6$ [M + H]+ 620.3130, found 620.3131.

2-(Diethylamino)ethyl 4-((*S*)-2-(methyl((*R*)-3-phenyl-3-(o-tolyloxy)propyl)amino)propanamido)benzoate (176)



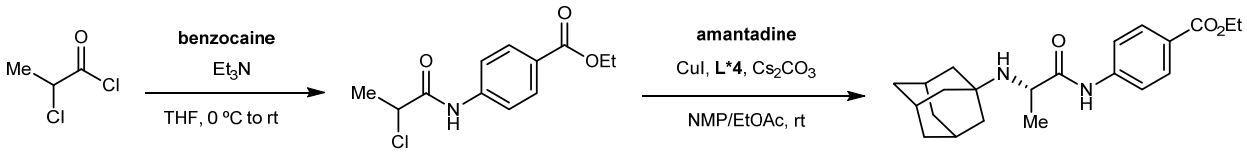
176

According to **General Procedure C** with 2-(diethylamino)ethyl 4-(2-chloropropanamido)benzoate **E41** (97.8 mg, 0.30 mmol, 1.5 equiv.), atomoxetine hydrochloride **A107** (58.2 mg, 0.20 mmol, 1.0 equiv.), and Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **176** as a colorless oil (85.1 mg, 78% yield, 15:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

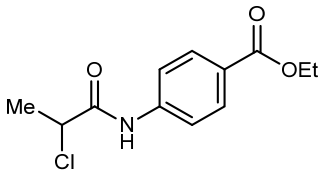
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.43 (s, 0.94H), 9.34 (s, 0.06H), 7.96 – 7.92 (m, 2H), 7.50 – 7.43 (m, 2H), 7.33 – 7.30 (m, 4H), 7.25 – 7.21 (m, 1H), 7.09 – 7.04 (m, 1H), 6.96 – 6.91 (m, 1H), 6.79 – 6.76 (m, 1H), 6.59 – 6.55 (m, 1H), 5.22 – 5.20 (m, 1H), 4.51 (t, *J* = 6.0 Hz, 2H), 3.40 –

3.35 (m, 1H), 3.02 (t, J = 6.0 Hz, 2H), 2.81 (q, J = 7.2 Hz, 4H), 2.76 – 2.69 (m, 2H), 2.31 (s, 3H), 2.25 (s, 3H), 2.21 – 2.04 (m, 2H), 1.27 (d, J = 7.0 Hz, 3H), 1.17 (t, J = 7.2 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 165.9, 155.8, 142.1, 141.7, 130.8, 130.7, 128.7, 127.6, 126.9, 126.6, 125.5, 124.8, 120.4, 118.4, 112.5, 77.5, 63.3, 61.9, 50.8, 50.5, 47.5, 38.3, 37.1, 16.4, 11.0, 9.2.
HRMS (ESI) m/z calcd. for $C_{33}H_{44}N_3O_4$ [M + H]+ 546.3326, found 546.3328.

The synthesis of 177



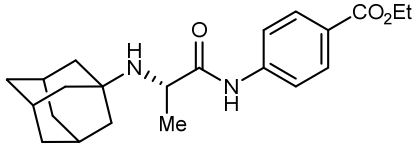
Ethyl 4-(2-chloropropanamido)benzoate (E42)



E42

According to **General procedure 1** with 2-chloropropanoyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and benzocaine (1.65 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E42** as a white solid (2.43 g, 95% yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (s, 1H), 8.05 – 8.03 (m, 2H), 7.67 – 7.64 (m, 2H), 4.56 (q, J = 7.0 Hz, 1H), 4.37 (q, J = 7.1 Hz, 2H), 1.83 (d, J = 7.1, 3H), 1.39 (t, J = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 166.0, 141.0, 130.8, 126.7, 119.0, 61.0, 56.0, 22.4, 14.3.
HRMS (ESI) m/z calcd. for $C_{12}H_{15}ClNO_3$ $[M + H]^+$ 256.0735, found 256.0735.

Ethyl 4-((*S*)-2-((adamantan-1-yl)amino)propanamido)benzoate (177)

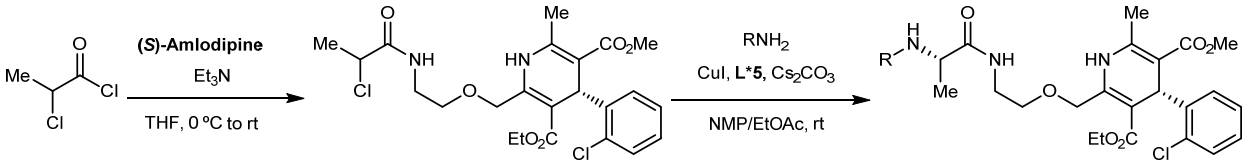


177

According to **General Procedure B** with ethyl 4-(2-chloropropanamido)benzoate **E42** (76.5 mg, 0.30 mmol, 1.5 equiv.), amantadine **A76** (30.2 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **177** as a white solid (66.7 mg, 90% yield, 88% e.e.).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.68 min, t_R (major) = 19.55 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.11 (s, 1H), 8.03 – 8.01 (m, 2H), 7.68 – 7.66 (m, 2H), 4.36 (q, J = 7.1 Hz, 2H), 3.55 (q, J = 7.3 Hz, 1H), 2.09 – 2.06 (m, 3H), 1.71 – 1.65 (m, 6H), 1.60 – 1.57 (m, 6H), 1.41 – 1.37 (m, 7H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 175.2, 166.2, 141.8, 130.8, 125.5, 118.2, 60.7, 51.6, 50.6, 42.9,

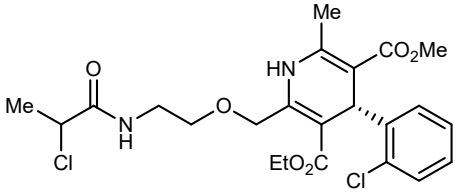
36.3, 29.3, 21.5, 14.3.
HRMS (ESI) m/z calcd. for $C_{22}H_{31}N_2O_3$ $[M + H]^+$ 371.2329, found 371.2329.

The synthesis of 178-179



E5 178-179

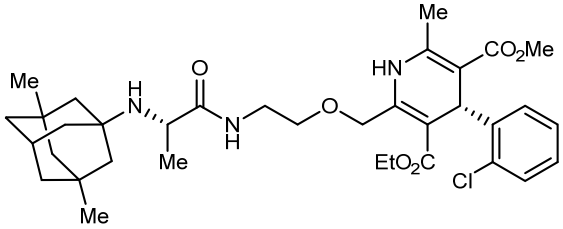
3-Ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate (E5)



E5

According to **General procedure 1** with 2-chloropropanoyl chloride (1.51 g, 12.0 mmol, 1.2 equiv.) and (*S*)-amlodipine (4.08 g, 10.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **E5** as a yellowish oil (4.83 g, 97% yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.36 (m, 1H), 7.24 – 7.22 (m, 1H), 7.19 – 7.11 (m, 2H), 7.06 – 7.02 (m, 1H), 6.93 (s, 1H), 5.41 (s, 1H), 4.80 – 4.75 (m, 1H), 4.71 – 4.66 (m, 1H), 4.45 (q, *J* = 7.1 Hz, 1H), 4.09 – 3.99 (m, 2H), 3.72 – 3.65 (m, 2H), 3.62 (s, 3H), 3.60 – 3.53 (m, 2H), 2.37 (s, 3H), 1.76 (dd, *J* = 7.0, 3.1 Hz, 3H), 1.18 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 170.0, 168.0, 167.1, 145.673, 145.667, 144.81, 144.78, 144.2, 144.1, 132.2, 131.40, 131.38, 129.2, 127.3, 126.82, 126.80, 103.81, 103.78, 101.6, 101.5, 70.0, 68.0, 59.8, 56.0, 50.7, 39.6, 37.0, 22.6, 19.34, 19.28, 14.2.
HRMS (ESI) m/z calcd. for $C_{23}H_{28}Cl_2N_2NaO_6$ $[M + Na]^+$ 521.1217, found 521.1220.

3-Ethyl 5-methyl (*S*)-4-(2-chlorophenyl)-2-((2-((*S*)-2-((3,5-dimethyladamantan-1-yl)amino)propanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate (178)



178

According to **General Procedure B** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (149.4 mg, 0.30 mmol, 1.5 equiv.), memantine hydrochloride **A104** (43.0 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.), anhydrous

NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **178** as a yellowish oil (120.3 mg, 94% yield, 14:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

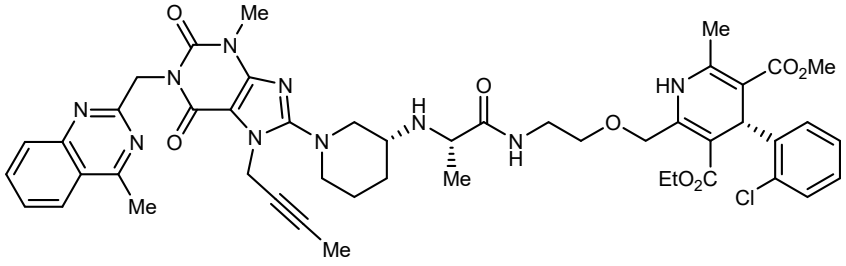
According to **General Procedure B** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (99.6 mg, 0.20 mmol, 1.0 equiv.), memantine hydrochloride **A104** (43.0 mg, 0.20 mmol, 1.0 equiv.), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (260.6 mg, 0.80 mmol, 4.0 equiv.), anhydrous NMP (2.8 mL), and EtOAc (1.2 mL) for 96 h, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (EtOAc) to yield the product **178** as a yellowish oil (99.8 mg, 78% yield, 10:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 – 8.08 (m, 1H), 7.43 – 7.36 (m, 2H), 7.23 – 7.21 (m, 1H), 7.14 – 7.09 (m, 1H), 7.05 – 7.00 (m, 1H), 5.41 (s, 1H), 4.78 – 4.63 (m, 2H), 4.09 – 3.98 (m, 2H), 3.69 – 3.36 (m, 9H), 2.42 (s, 0.20H), 2.40 (s, 2.80H), 2.14 – 2.09 (m, 1H), 1.50 – 1.46 (m, 1H), 1.37 – 1.24 (m, 10H), 1.19 – 1.04 (m, 7H), 0.82 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 177.3, 167.9, 167.0, 145.8, 145.0, 144.2, 132.2, 131.4, 129.0, 127.2, 126.7, 103.6, 101.2, 70.6, 67.9, 59.6, 53.1, 50.6, 50.2, 49.2, 49.0, 42.6, 41.3, 38.4, 37.0, 32.23, 32.21, 30.1, 30.0, 21.7, 19.4, 14.2.

HRMS (ESI) m/z calcd. for $C_{35}H_{49}ClN_3O_6$ $[M + H]^+$ 642.3304, found 1642.3308.

3-Ethyl 5-methyl (*S*)-2-((2-((*S*)-2-(((*R*)-1-(7-(but-2-yn-1-yl)-3-methyl-1-((4-methylquinazolin-2-yl)methyl)-2,6-dioxo-2,3,6,7-tetrahydro-1H-purin-8-yl)piperidin-3-yl)amino)propanamido)ethoxy)methyl)-4-(2-chlorophenyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate (179)



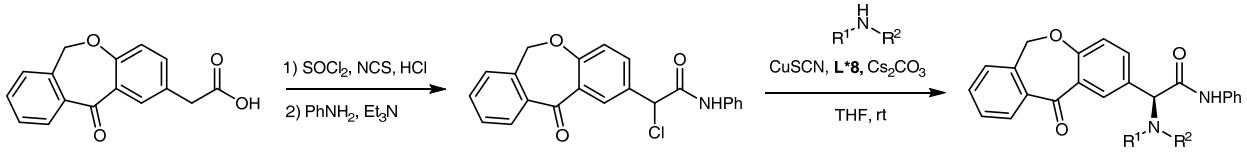
179

According to **General Procedure B** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (149.4 mg, 0.30 mmol, 1.5 equiv.), linagliptin **A102** (94.4 mg, 0.20 mmol, 1.0 equiv.), and **L*4** (15.4 mg, 0.03 mmol, 15 mol%), the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **179** as a colorless oil (179.3 mg, 96% yield, 13:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

According to **General Procedure B** with 3-ethyl 5-methyl (4*S*)-4-(2-chlorophenyl)-2-((2-(2-chloropropanamido)ethoxy)methyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate **E5** (99.6 mg, 0.20 mmol, 1.0 equiv.), linagliptin **A102** (94.4 mg, 0.20 mmol, 1.0 equiv.), and **L*4** (15.4 mg, 0.03 mmol, 15 mol%), the reaction mixture was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **179** as a colorless oil (124.3 mg, 67% yield, 13:1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR

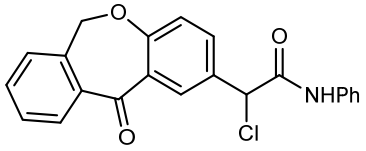
spectroscopy.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.98 (m, 1H), 7.86 – 7.83 (m, 1H), 7.76 – 7.65 (m, 2H), 7.52 – 7.48 (m, 1H), 7.40 – 7.35 (m, 2H), 7.23 – 7.20 (m, 1H), 7.13 – 7.08 (m, 1H), 7.04 – 7.00 (m, 1H), 5.57 (s, 2H), 5.39 (s, 0.07H), 5.38 (s, 0.93H), 4.89 – 4.84 (m, 2H), 4.77 – 4.62 (m, 2H), 4.07 – 3.99 (m, 2H), 3.71 – 3.53 (m, 11H), 3.45 – 3.36 (m, 2H), 3.15 – 3.08 (m, 1H), 2.98 – 2.93 (m, 1H), 2.89 – 2.83 (m, 4H), 2.37 (s, 3H), 2.03 – 1.69 (m, 7H), 1.49 – 1.41 (m, 1H), 1.38 – 1.32 (m, 3H), 1.16 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 175.6, 168.3, 167.8, 166.8, 160.8, 155.7, 154.1, 151.6, 149.6, 147.6, 145.6, 144.8, 144.2, 133.0, 132.0, 131.2, 129.0, 128.5, 127.1, 126.6, 126.5, 124.6, 122.8, 104.3, 103.4, 101.2, 81.2, 73.0, 70.5, 67.8, 59.5, 56.0, 55.7, 52.7, 50.51, 50.46, 46.0, 38.5, 36.9, 35.4, 30.2, 29.5, 23.0, 21.5, 20.0, 19.1, 14.0, 3.4.
HRMS (ESI) m/z calcd. for $C_{48}H_{56}ClN_{10}O_8$ $[M + H]^+$ 935.3966, found 935.3967.

Synthesis of chiral unnatural α-amino carboxamide via late-stage C(sp^3)–H functionalization of bioactive carboxylic acid molecules.
The synthesis of 180-181



isoxepac E43 180-181

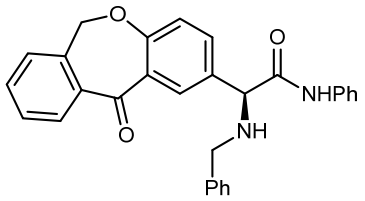
2-Chloro-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide (E43)



E43

According to **General procedure 4** with isoxepac (6.70 g, 25.0 mmol, 1.0 equiv.) and aniline (2.33 g, 25.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **E43** as a white solid (2.42 g, 26% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 8.35 (d, *J* = 2.5 Hz, 1H), 7.87 – 7.85 (m, 1H), 7.64 (dd, *J* = 8.6, 2.5 Hz, 1H), 7.57 – 7.53 (m, 3H), 7.48 – 7.44 (m, 1H), 7.36 – 7.30 (m, 3H), 7.16 – 7.12 (m, 1H), 7.07 (d, *J* = 8.6 Hz, 1H), 5.54 (s, 1H), 5.17 (s, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 190.4, 165.2, 161.8, 140.2, 136.8, 135.2, 134.5, 132.9, 131.8, 130.5, 129.5, 129.4, 129.0, 127.9, 125.2, 125.0, 121.9, 120.2, 73.5, 61.0.
HRMS (ESI) m/z calcd. for $C_{22}H_{17}ClNO_3$ $[M + H]^+$ 378.0891, found 378.0891.

(*S*)-2-(Benzylamino)-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide (180)



180

According to **General Procedure F** with 2-chloro-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide **E43** (113.1 mg, 0.30 mmol, 1.5 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **180** as a yellowish solid (40.0 mg, 45% yield, 90% e.e.).

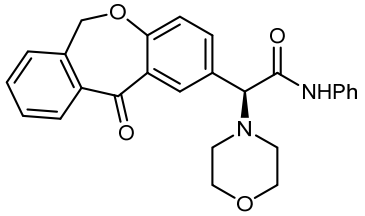
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 21.37 min, t_R (minor) = 30.19 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 8.28 (d, *J* = 2.4 Hz, 1H), 7.85 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.58 – 7.55 (m, 2H), 7.54 – 7.50 (m, 2H), 7.45 – 7.41 (m, 1H), 7.37 – 7.26 (m, 8H), 7.10 – 7.06 (m, 1H), 7.01 (d, *J* = 8.5 Hz, 1H), 5.13 (s, 2H), 4.37 (s, 1H), 3.86 (s, 2H), 2.31 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 169.8, 161.1, 140.2, 138.7, 137.5, 135.3, 134.3, 132.8, 132.6, 130.2, 129.4, 129.2, 128.9, 128.7, 128.1, 127.8, 127.5, 125.2, 124.2, 121.4, 119.5, 73.5, 66.6, 52.6.

HRMS (ESI) m/z calcd. for $C_{29}H_{25}N_2O_3$ $[M + H]^+$ 449.1860, found 449.1861.

(*S*)-2-Morpholino-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide (181)



181

According to **General Procedure F** with 2-chloro-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide **E43** (113.1 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **181** as a colorless oil (70.7 mg, 83% yield, 88% e.e.).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.50 min, t_R (major) = 25.18 min.

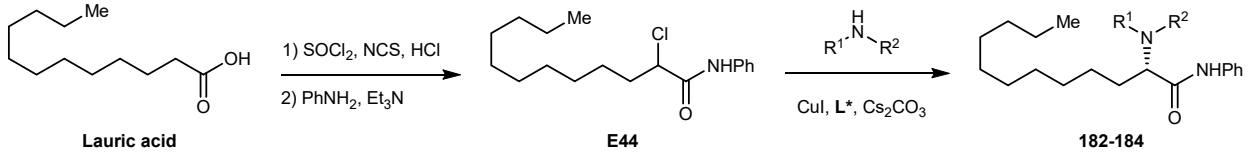
According to **General Procedure F** with 2-chloro-2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)-*N*-phenylacetamide **E43** (75.4 mg, 0.20 mmol, 1.0 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **181** as a colorless oil (53.2 mg, 62% yield, 89% e.e.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.15 (s, 1H), 8.23 (d, *J* = 2.4 Hz, 1H), 7.87 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.59 – 7.43 (m, 5H), 7.35 – 7.30 (m, 3H), 7.12 – 7.08 (m, 1H), 7.04 (d, *J* = 8.5 Hz, 1H), 5.16 (s, 2H), 4.06 (s, 1H), 3.78 – 3.75 (m, 4H), 2.53 – 2.51 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 168.7, 161.3, 140.2, 137.4, 135.5, 135.3, 132.8, 132.5,

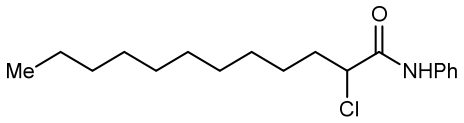
129.4, 129.2, 129.0, 128.2, 127.8, 125.1, 124.4, 121.3, 119.6, 75.4, 73.5, 66.9, 51.8.
HRMS (ESI) m/z calcd. for $C_{26}H_{25}N_2O_4$ $[M + H]^+$ 429.1809, found 429.1809.

The synthesis of 182-184



Lauric acid **E44** **182-184**

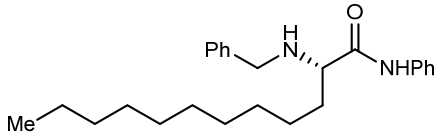
2-Chloro-*N*-phenyldodecanamide (E44)



E44

According to **General procedure 4** with lauric acid (5.00 g, 25.0 mmol, 1.0 equiv.) and aniline (2.33 g, 25.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E44** as a white solid (5.40 g, 70% overall yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.56 – 7.53 (m, 2H), 7.37 – 7.31 (m, 2H), 7.17 – 7.13 (m, 1H), 4.45 (dd, *J* = 8.3, 4.4 Hz, 1H), 2.22 – 2.13 (m, 1H), 2.05 – 1.96 (m, 1H), 1.58 – 1.45 (m, 2H), 1.37 – 1.26 (m, 14H), 0.88 (t, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.1, 136.9, 129.0, 125.0, 120.0, 61.6, 35.6, 31.8, 29.51, 29.47, 29.32, 29.26, 28.8, 25.9, 22.6, 14.1.
HRMS (ESI) m/z calcd. for $C_{18}H_{29}ClNO$ $[M + H]^+$ 310.1932, found 310.1930.

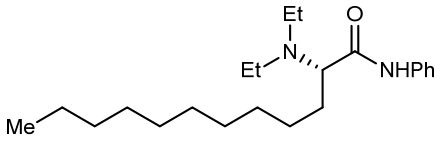
(*S*)-2-(Benzylamino)-*N*-phenyldodecanamide (182)



182

According to **General Procedure H** with 2-chloro-*N*-phenyldodecanamide **E44** (123.7 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **182** as a colorless oil (67.4 mg, 89% yield,86% e.e.).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 13.54 min, t_R (minor) = 19.85 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.60 – 7.57 (m, 2H), 7.38 – 7.27 (m, 7H), 7.12 – 7.08 (m, 1H), 3.85 – 3.74 (m, 2H), 3.26 (dd, *J* = 7.9, 4.7 Hz, 1H), 1.87 – 1.79 (m, 2H), 1.68 – 1.59 (m, 1H), 1.40 – 1.34 (m, 2H), 1.31 – 1.24 (m, 14H), 0.87 (t, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 139.1, 137.8, 129.0, 128.7, 128.1, 127.5, 124.0, 119.3, 63.2, 53.1, 33.7, 31.9, 29.52, 29.51, 29.40, 29.39, 29.3, 25.9, 22.6, 14.1.
HRMS (ESI) *m/z* calcd. for $C_{25}H_{37}N_2O$ $[M + H]^+$ 381.2900, found 381.2897.

(*S*)-2-(Diethylamino)-*N*-phenyldodecanamide (183)



183

According to **General Procedure E** with 2-chloro-*N*-phenyldodecanamide **E44** (92.8 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **183** as a colorless oil (50.7 mg, 73% yield, 95% e.e.).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 4.42 min, t_R (minor) = 5.33 min.

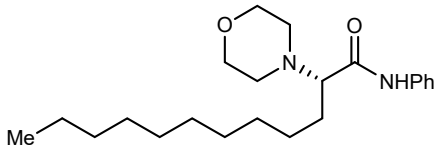
According to **General Procedure E** with 2-chloro-*N*-phenyldodecanamide **E44** (61.8 mg, 0.20 mmol, 1.0 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **183** as a colorless oil (47.9 mg, 69% yield, 94% e.e.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 7.58 – 7.55 (m, 2H), 7.34 – 7.29 (m, 2H), 7.10 – 7.06 (m, 1H), 3.31 – 3.28 (m, 1H), 2.74 – 2.66 (m, 2H), 2.63 – 2.54 (m, 2H), 1.91 – 1.82 (m, 1H), 1.66 – 1.55 (m, 2H), 1.43 – 1.26 (m, 15H), 1.11 (t, *J* = 7.1 Hz, 6H), 0.88 (t, *J* = 6.8 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 138.0, 128.9, 123.7, 119.1, 64.9, 44.4, 31.9, 29.9, 29.61, 29.58, 29.5, 29.3, 28.3, 26.2, 22.6, 14.1, 13.4.

HRMS (ESI) *m/z* calcd. for $C_{22}H_{39}N_2O$ $[M + H]^+$ 347.3057, found 347.3053.

(*S*)-2-Morpholino-*N*-phenyldodecanamide (184)



184

According to **General Procedure H** with 2-chloro-*N*-phenyldodecanamide **E44** (92.8 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **184** as a white solid (71.4 mg, 99% yield, 92% e.e.).

HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 98/2, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 22.17 min, t_R (major) = 23.73 min.

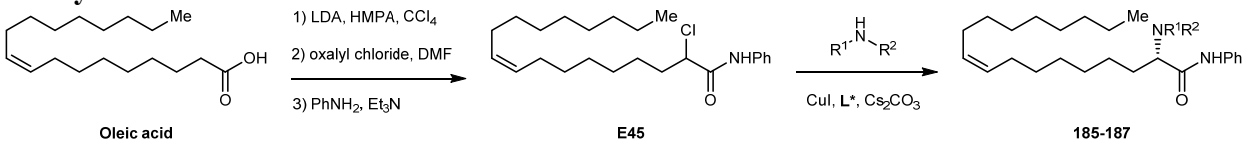
According to **General Procedure H** with 2-chloro-*N*-phenyldodecanamide **E44** (61.8 mg, 0.20 mmol, 1.0 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **184** as a white solid (64.1 mg, 89% yield, 92% e.e.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.95 (s, 1H), 7.57 – 7.55 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 3.80 – 3.71 (m, 4H), 2.95 (dd, *J* = 7.1, 5.5 Hz, 1H), 2.68 – 2.63 (m, 2H), 2.60 – 2.55 (m, 2H), 1.81 – 1.67 (m, 2H), 1.51 – 1.37 (m, 2H), 1.34 – 1.25 (m, 14H), 0.87 (t, *J* = 6.8 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.1, 137.6, 129.0, 124.0, 119.3, 70.2, 67.2, 50.8, 31.8, 29.8, 29.51, 29.48, 29.4, 29.2, 28.0, 26.5, 22.6, 14.0.

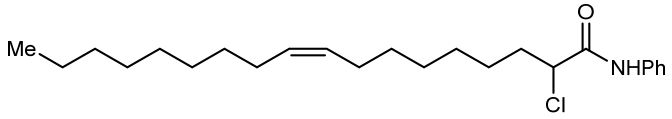
HRMS (ESI) m/z calcd. for $C_{22}H_{37}N_2O_2$ $[M + H]^+$ 361.2850, found 361.2848.

The synthesis of 185-187



Oleic acid E45 185-187

(*Z*)-2-Chloro-*N*-phenyloctadec-9-enamide (E45)



E45

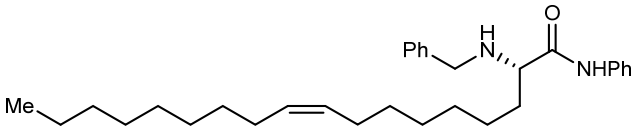
According to **General procedure 6** with oleic acid (5.65 g, 20.0 mmol, 1.0 equiv.) and aniline (1.86 g, 20.0 mmol, 1.0 equiv.), the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **E45** as a yellowish oil (3.67 g, 47% overall yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.56 – 7.53 (m, 2H), 7.36 – 7.31 (m, 2H), 7.17 – 7.12 (m, 1H), 5.44 – 5.24 (m, 2H), 4.45 (dd, *J* = 8.3, 4.4 Hz, 1H), 2.22 – 2.14 (m, 1H), 2.10 – 1.94 (m, 5H), 1.58 – 1.46 (m, 2H), 1.36 – 1.25 (m, 18H), 0.88 (t, *J* = 6.8 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 136.9, 130.0, 129.6, 129.0, 125.0, 120.0, 61.6, 35.6, 31.9, 29.7, 29.6, 29.5, 29.31, 29.27, 28.9, 28.7, 27.2, 27.1, 25.9, 22.6, 14.1.

HRMS (ESI) m/z calcd. for $C_{24}H_{39}ClNO$ $[M + H]^+$ 392.2715, found 392.2712.

(*S*,*Z*)-2-(Benzylamino)-*N*-phenyloctadec-9-enamide (185)



185

According to **General Procedure H** with (*Z*)-2-chloro-*N*-phenyloctadec-9-enamide **E45** (156.5 mg, 0.40 mmol, 2.0 equiv.) and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **185** as a colorless oil (68.6 mg, 74% yield, 90% e.e.).

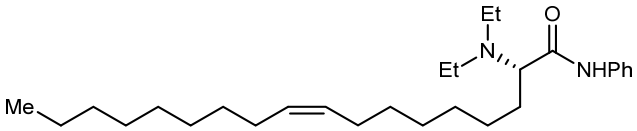
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.29 min, t_R (minor) = 7.94 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.59 – 7.57 (m, 2H), 7.38 – 7.27 (m, 7H), 7.12 – 7.08 (m, 1H), 5.38 – 5.28 (m, 2H), 3.85 – 3.74 (m, 2H), 3.29 – 3.25 (m, 1H), 2.33 (s, 1H), 2.03 – 1.97 (m, 4H), 1.88 – 1.79 (m, 1H), 1.69 – 1.59 (m, 1H), 1.42 – 1.23 (m, 20H), 0.88 (t, *J* = 6.7 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 139.1, 137.8, 130.0, 129.6, 129.0, 128.7, 128.1, 127.5, 124.0, 119.3, 63.1, 53.1, 33.7, 31.9, 29.7, 29.6, 29.5, 29.32, 29.29, 29.0, 27.2, 27.1, 25.9, 22.7, 14.1.

HRMS (ESI) *m/z* calcd. for $C_{31}H_{47}N_2O$ $[M + H]^+$ 463.3683, found 463.3679.

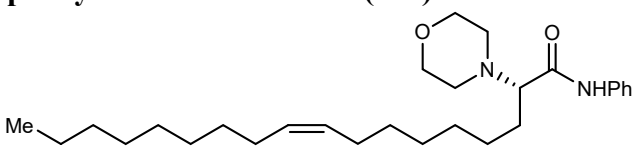
(*S*,*Z*)-2-(Diethylamino)-*N*-phenyloctadec-9-enamide (186)



186

According to **General Procedure E** with (*Z*)-2-chloro-*N*-phenyloctadec-9-enamide **E45** (117.4 mg, 0.30 mmol, 1.5 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **186** as a colorless oil (80.0 mg, 93% yield, 95% e.e.).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 5.70 min, t_R (minor) = 6.89 min.
According to **General Procedure E** with (*Z*)-2-chloro-*N*-phenyloctadec-9-enamide **E45** (78.3 mg, 0.20 mmol, 1.0 equiv.) and diethylamine **A51** (14.6 mg, 0.20 mmol, 1.0 equiv.) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **186** as a colorless oil (70.1 mg, 82% yield, 95% e.e.).
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.53 (s, 1H), 7.58 –7.56 (m, 2H), 7.34 –7.29 (m, 2H), 7.10 –7.05 (m, 1H), 5.39 – 5.30 (m, 2H), 3.30 – 3.27 (m, 1H), 2.74 – 2.65 (m, 2H), 2.62 – 2.54 (m, 2H), 2.03 – 1.98 (m, 3H), 1.91 – 1.84 (m, 1H), 1.66 – 1.55 (m, 2H), 1.41 – 1.25 (m, 20H), 1.11 (t, *J* = 7.2 Hz, 6H), 0.88 (t, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 138.0, 129.9, 129.7, 128.9, 123.7, 119.0, 64.9, 44.4, 31.9, 29.8, 29.73, 29.71, 29.6, 29.5, 29.3, 29.1, 28.3, 27.18, 27.15, 26.2, 22.6, 14.1, 13.4.
HRMS (ESI) *m/z* calcd. for $C_{28}H_{49}N_2O$ $[M + H]^+$ 429.3839, found 429.3837.

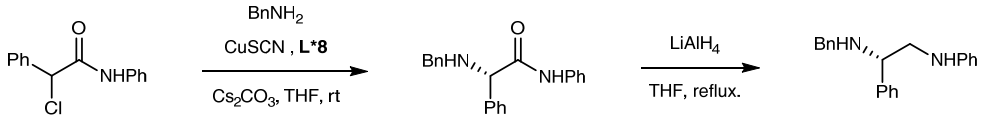
(*S*,*Z*)-2-Morpholino-*N*-phenyloctadec-9-enamide (187)



187

According to **General Procedure H** with (*Z*)-2-chloro-*N*-phenyloctadec-9-enamide **E45** (117.4 mg, 0.30 mmol, 1.5 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **187** as a colorless oil (80.2 mg, 91% yield, 91% e.e.).
HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 98/2, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 19.52 min, t_R (major) = 21.22 min.
According to **General Procedure H** with (*Z*)-2-chloro-*N*-phenyloctadec-9-enamide **E45** (78.3 mg, 0.20 mmol, 1.0 equiv.) and morpholine **A89** (17.4 mg, 0.20 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **187** as a colorless oil (68.4 mg, 77% yield, 91% e.e.).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (s, 1H), 7.56 – 7.54 (m, 2H), 7.35 – 7.31 (m, 2H), 7.12 – 7.08 (m, 1H), 5.41 – 5.25 (m, 2H), 3.80 – 3.71 (m, 4H), 2.97 – 2.93 (m, 1H), 2.68 – 2.63 (m, 2H), 2.60 – 2.55 (m, 2H), 2.06 – 1.94 (m, 4H), 1.81 – 1.68 (m, 2H), 1.50 – 1.24 (m, 20H), 0.88 (t, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 137.6, 129.9, 129.6, 129.0, 124.0, 119.3, 70.1, 67.2, 50.8, 31.8, 29.7, 29.62, 29.56, 29.4, 29.3, 29.2, 29.0, 28.0, 27.1, 27.0, 26.5, 22.6, 14.0.
HRMS (ESI) m/z calcd. for $C_{28}H_{47}N_2O_2$ $[M + H]^+$ 443.3632, found 443.3632.

The synthesis of vicinal diamine 188

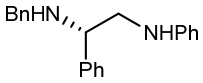


E18 **115**, 70%, 93% ee **188**, 81%, 93% ee

According to **General Procedure F** with 2-chloro-*N*,2-diphenylacetamide **E18** (367.6 mg, 1.5 mmol, 1.5 equiv.) and benzylamine **A1** (107.1 mg, 1.0 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **115** as a white solid (222.0 mg, 70% yield, 93% e.e.).

To a solution of **115** (63.2 mg, 0.20 mmol, 1.0 equiv.) in anhydrous THF (4.0 mL) was added $LiAlH_4$ (0.32 mL, 0.80 mmol, 4.0 equiv., 2.5 M in THF) dropwise at 0 °C. Then the reaction mixture was heated at reflux for 12 h. After completion (monitored by TLC), the reaction was quenched by saturated NH_4Cl solution (10 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **188** as a yellowish oil (49.0 mg, 81% yield, 93% e.e.).

(*S*)-*N*^{1}-Benzyl-*N*^{2},1-diphenylethane-1,2-diamine (188)



188

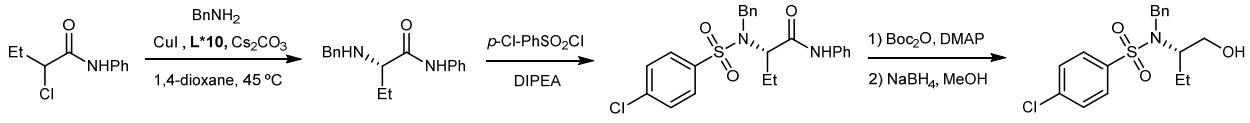
HPLC analysis: Chiralcel AD3 (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.01 min, t_R (minor) = 10.35 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.36 (m, 4H), 7.33 – 7.21 (m, 6H), 7.18 – 7.13 (m, 2H), 6.72 – 6.68 (m, 1H), 6.62 – 6.59 (m, 2H), 3.94 – 3.91 (m, 1H), 3.73 (d, *J* = 13.2 Hz, 1H), 3.57 (d, *J* = 13.1 Hz, 1H), 3.34 – 3.24 (m, 2H), 2.19 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 148.0, 141.7, 140.1, 129.2, 128.7, 128.4, 128.1, 127.6, 127.2, 127.0, 117.6, 113.1, 61.3, 51.2, 50.6.

HRMS (ESI) *m/z* calcd. for $C_{21}H_{23}N_2$ $[M + H]^+$ 303.1856, found 303.1851.

The synthesis of γ-secretase inhibitor



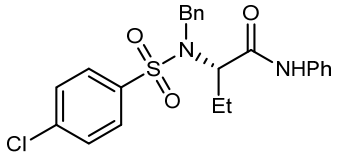
E7 **141**, 87%, 90% ee **189-1**, 74%, 90% ee **189**, 73%, 90% ee

According to **General Procedure H** with 2-chloro-*N*-phenylbutanamide **E7** (394.1 mg, 2.0 mmol, 2.0 equiv.) and benzylamine **A1** (107.1 mg, 1.0 mmol, 1.0 equiv.) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **141** as a colorless oil (234.5 mg, 87% yield, 90% e.e.).

To a solution of **141** (26.8 mg, 0.1 mmol, 1.0 equiv.) and 4-chlorobenzenesulfonyl chloride (84.0 mg, 0.4 mmol, 4.0 equiv.) in CH_3CN (2.0 mL) was added DIPEA (25.8 mg, 0.2 mmol, 2.0 equiv.) at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 48 h. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution

(1.0 M, 5 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **189-1** as a white solid (32.9 mg, 74% yield, 90% e.e.).
To a solution of **189-1** (32.9 mg, 0.0744 mmol, 1.0 equiv.) in CH_3CN (2.0 mL) was added Boc_2O (81.1 mg, 0.372 mmol, 5.0 equiv.) and DMAP (19.2 mg, 0.1488 mmol, 2.0 equiv.) at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 1 h. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 5 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was used in the next step without further purification.
To a solution of the above crude product in CH_3OH (2.0 mL) was added $NaBH_4$ (11.3 mg, 0.2976 mmol, 4.0 equiv.) slowly at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 1 h. After completion (monitored by TLC), the reaction was quenched by saturated NH_4Cl solution (10 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **189** as a yellowish oil (19.3 mg, 73% yield, 90% e.e.).

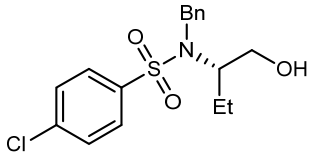
(*S*)-2-((*N*-Benzyl-4-chlorophenyl)sulfonamido)-*N*-phenylbutanamide (189-1)



189-1

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.56 min, t_R (major) = 16.11 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 7.75 – 7.71 (m, 2H), 7.48 – 7.45 (m, 2H), 7.36 – 7.34 (m, 2H), 7.27 – 7.16 (m, 7H), 7.09 – 7.05 (m, 1H), 4.79 (d, *J* = 15.2 Hz, 1H), 4.26 – 4.18 (m, 2H), 2.11 – 2.00 (m, 1H), 1.55 – 1.44 (m, 1H), 0.63 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 139.6, 138.4, 137.3, 135.6, 129.5, 128.9, 128.7, 128.53, 128.51, 127.9, 124.2, 119.6, 62.0, 48.5, 21.3, 11.0.
HRMS (ESI) *m/z* calcd. for $C_{23}H_{24}ClN_2O_3S$ $[M + H]^+$ 443.1191, found 443.1192.

(*S*)-*N*-Benzyl-4-chloro-*N*-(1-hydroxybutan-2-yl)benzenesulfonamide (189)

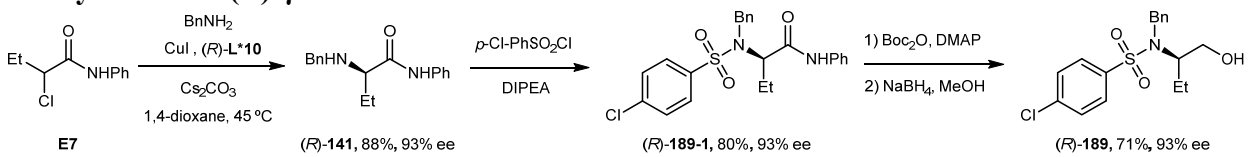


189

HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.18 min, t_R (major) = 13.93 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.73 (m, 2H), 7.46 – 7.44 (m, 2H), 7.39 – 7.37 (m, 2H), 7.34 – 7.28 (m, 3H), 4.60 (d, *J* = 15.5 Hz, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 3.81 – 3.74 (m, 1H), 3.44 – 3.31 (m, 2H), 1.50 – 1.39 (m, 1H), 1.37 – 1.26 (m, 2H), 0.68 (t, *J* = 7.4 Hz, 3H).

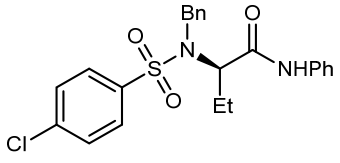
^{13}C NMR (100 MHz, $CDCl_3$) δ 139.5, 138.9, 137.4, 129.2, 128.7, 128.6, 128.2, 127.9, 63.3, 62.5, 47.8, 22.2, 11.2.
HRMS (ESI) *m/z* calcd. for $C_{17}H_{21}ClNO_3S$ $[M + H]^+$ 354.0925, found 354.0918.

The synthesis of (*R*)-γ-secretase inhibitor



According to **General Procedure H** with 2-chloro-*N*-phenylbutanamide **E7** (788.2 mg, 4.0 mmol, 2.0 equiv.), benzylamine **A1** (214.1 mg, 2.0 mmol, 1.0 equiv.), and (*R*)-**L*10** (129.4 mg, 0.3 mmol, 15 mol%) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product (*R*)-**141** as a colorless oil (473.5 mg, 88% yield, 93% e.e.).
To a solution of (*R*)-**141** (53.6 mg, 0.2 mmol, 1.0 equiv.) and 4-chlorobenzenesulfonyl chloride (167.9 mg, 0.8 mmol, 4.0 equiv.) in CH_3CN (4.0 mL) was added DIPEA (51.7 mg, 0.4 mmol, 2.0 equiv.) at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 48 h. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 10 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product (*R*)-**189-1** as a white solid (70.8 mg, 80% yield, 93% e.e.).
To a solution of (*R*)-**189-1** (53.1 mg, 0.12 mmol, 1.0 equiv.) in CH_3CN (2.0 mL) was added Boc_2O (130.9 mg, 0.6 mmol, 5.0 equiv.) and DMAP (29.3 mg, 0.24 mmol, 2.0 equiv.) at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 1 h. After completion (monitored by TLC), the reaction was quenched with HCl aqueous solution (1.0 M, 10 mL) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was used in the next step without further purification.
To a solution of the above crude product in CH_3OH (2.0 mL) was added $NaBH_4$ (18.3 mg, 0.48 mmol, 4.0 equiv.) slowly at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 1 h. After completion (monitored by TLC), the reaction was quenched by saturated NH_4Cl solution (10 mL) and extracted with CH_2Cl_2 three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtrated and concentrated to afford the crude product, which was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product (*R*)-**189** as a yellowish oil (30.0 mg, 71% yield, 93% e.e.).

(*R*)-2-((*N*-Benzyl-4-chlorophenyl)sulfonamido)-*N*-phenylbutanamide ((*R*)-189-1)

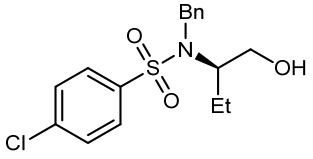


(*R*)-**189-1**

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R

(minor) = 11.59 min, t_R (major) = 15.97 min.

(*R*)-*N*-Benzyl-4-chloro-*N*-(1-hydroxybutan-2-yl)benzenesulfonamide ((*R*)-189)

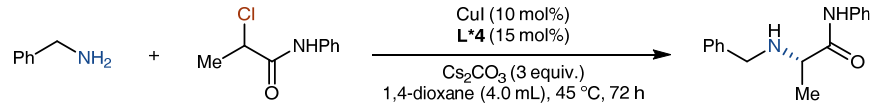


(*R*)-**189**

HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.07 min, t_R (major) = 14.00 min.

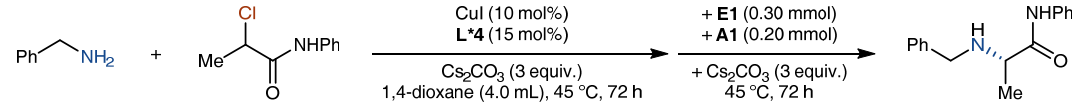
8. Mechanistic studies

Catalytic activity of the in situ formed catalyst in reactions with repeated addition of additional substrates



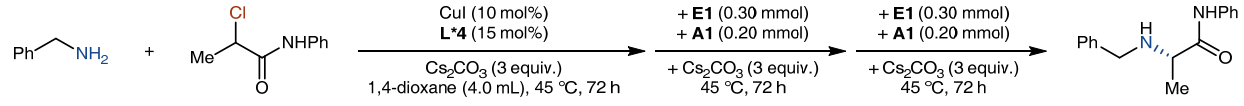
A1 (0.20 mmol) **E1** (0.30 mmol) **1**, 96%, 92% ee

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (48.6 mg, 96% yield, 92% e.e.).



A1 (0.20 mmol) **E1** (0.30 mmol) **1**, 96%, 92% ee

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Next, Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (97.6 mg, 96% yield, 92% e.e.).

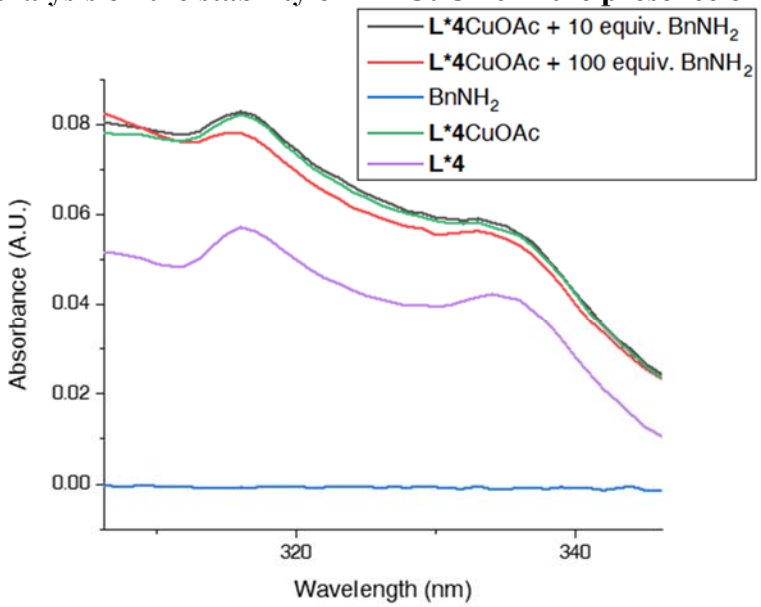


A1 (0.20 mmol) **E1** (0.30 mmol) **1**, 94%, 91% ee

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction

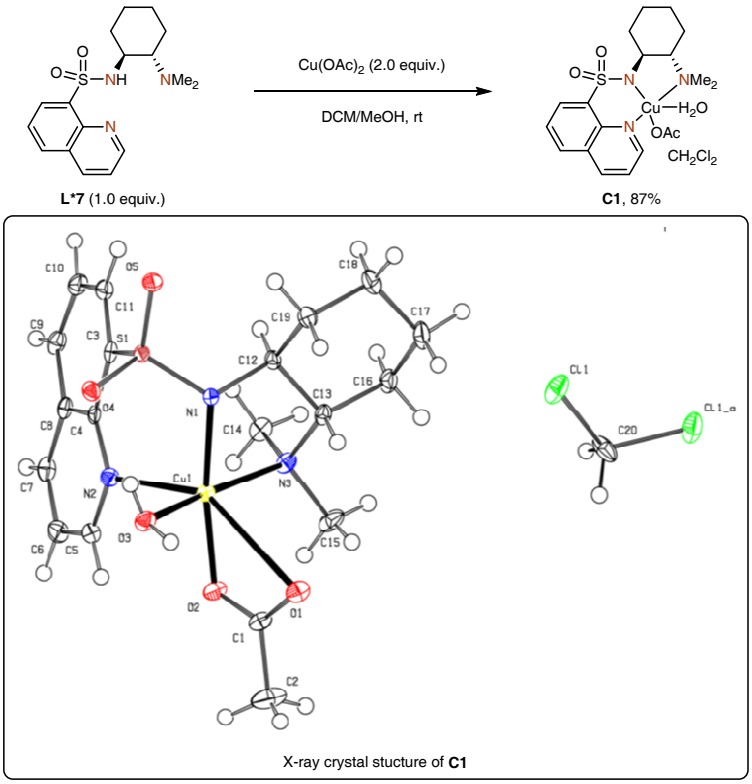
mixture was stirred at 45 °C for 72 h. Next, Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Then, Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), and benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (142.9 mg, 94% yield, 91% e.e.).

UV spectroscopic analysis on the stability of L*4CuOAc in the presence of benzylamine



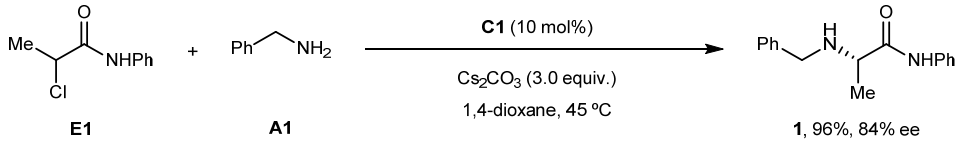
A solution of **L*4**CuOAc and benzylamine **A1** (10 or 100 equiv.) in acetonitrile was stirred at 80 °C for 0.5 h under argon and then, was evacuated under reduced pressure. The residue was dissolved in dichloromethane to make a 0.01 mM solution for UV–vis spectroscopic analysis.
The ligand displacement hardly occurred in the presence of 10 equiv. of benzylamine and only slightly occurred (ca. 16%) when the amount of benzylamine was increased to 100 equiv.

Preparation and characterization of complex C1

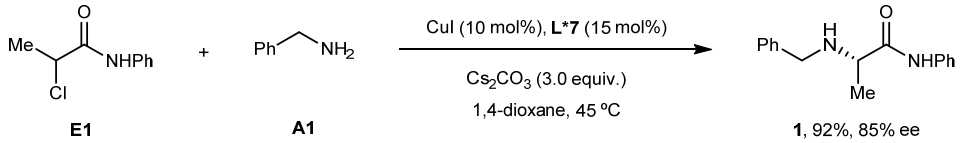


To a solution of $Cu(OAc)_2$ (36.2 mg, 0.20 mmol) in methanol (4 mL), **L*7** (33.3 mg, 0.10 mmol) was added and stirred overnight. Then the solution was concentrated in vacuo, the residue dissolved in CH_2Cl_2 (10 mL) and filtered. The crude reaction product was recrystallized from dichloromethane/hexane to obtain pure product **C1** (48.6 mg, 87% yield).

The catalytic activity of complex C1



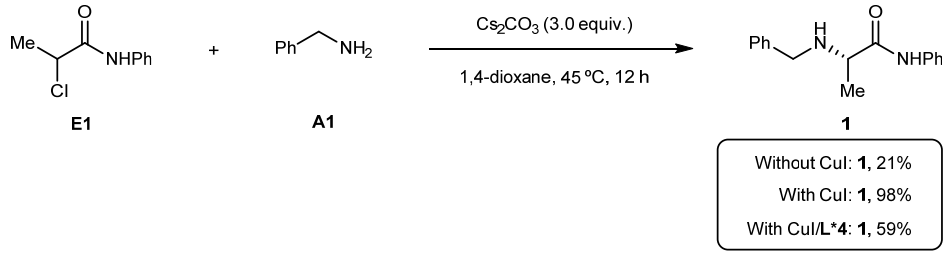
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with **C1** (2.8 mg, 0.005 mmol, 10 mol%), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv.), 2-chloro-*N*-phenylpropanamide **E1** (13.73, 0.075 mmol, 1.5 equiv.), benzylamine **A1** (5.4 mg, 0.05 mmol, 1.0 equiv.) and anhydrous 1,4-dioxane (1.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and afforded the desired product **1** (yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, 96%, 84% e.e.).



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir

bar was charged with CuI (0.9 mg, 0.005 mmol, 10 mol%), **L*7** (2.5 mg, 0.0075 mmol, 15 mol%), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (13.7, 0.075 mmol, 1.5 equiv.), benzylamine **A1** (5.4 mg, 0.05 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and afforded the desired product **1** (yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, 92%, 85% e.e.).

The effect of ligand and copper salt on the reaction

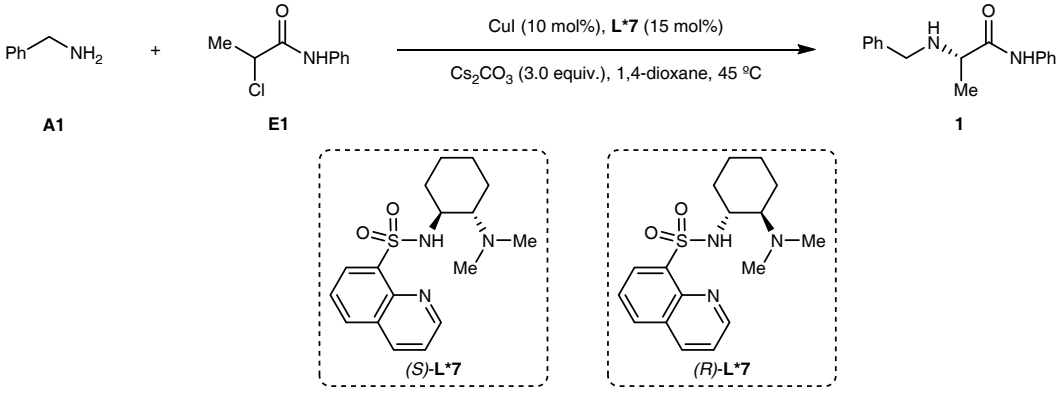


Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (0.9 mg, 0.005 mmol, 10 mol%), **L*4** (3.9 mg, 0.0075 mmol, 15 mol%), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (13.73, 0.075 mmol, 1.5 equiv.), benzylamine **A1** (5.4 mg, 0.05 mmol, 1.0 equiv.) and anhydrous 1,4-dioxane (0.5 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 12 h. Upon completion, the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and afforded the desired product **1** (yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, 59%, 92% e.e.).

The procedure for the reaction without CuI and **L*4** was the same with that described above except that CuI and **L*4** was not added. The desired product **1** (yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, 21%).

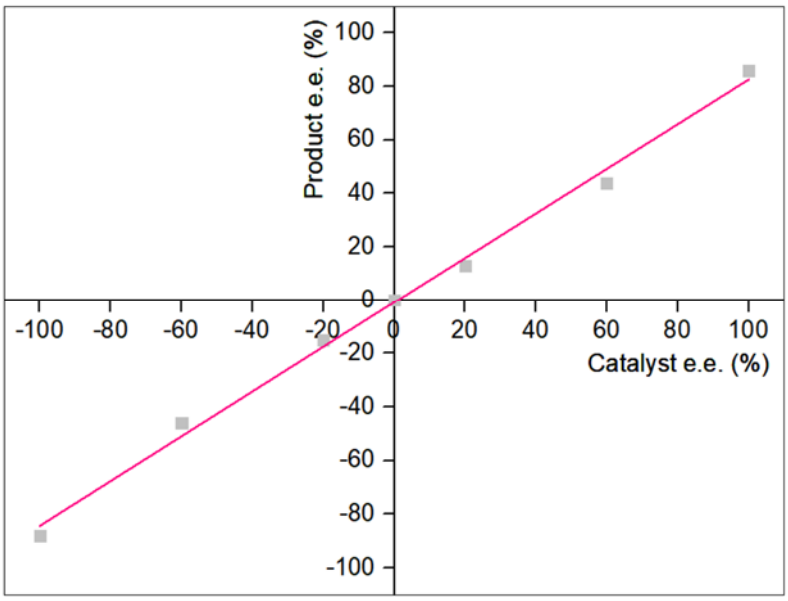
The procedure for the reaction without **L*4** was the same with that described above except that **L*4** was not added. The desired product **1** (yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, 98%).

The non-linear effect of catalyst

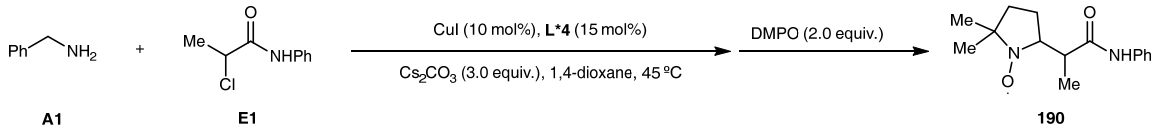


Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (0.9 mg, 0.005 mmol, 10 mol%), **L*7** (2.5 mg, 0.0075 mmol, 15 mol%), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (13.7, 0.075 mmol, 1.5 equiv.), benzylamine **A1** (5.4 mg, 0.05 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the products were separated by preparative thin-layer chromatography on silica gel. The e.e. values of products were then determined by HPLC, which indicated a linear relationship between e.e. values of products and corresponding catalysts. The catalyst **L*7** with different e.e. values were prepared by mixing *(S)*-**L*7** (99% e.e.) and *(R)*-**L*7** (99% e.e.) in appropriate ratios.

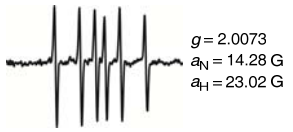
Entry	Catalyst e.e. (%)	Product e.e. (%)
1	99	86
2	60	44
3	20	13
4	0	0
5	-20	-15
6	-60	-46
7	-99	-88

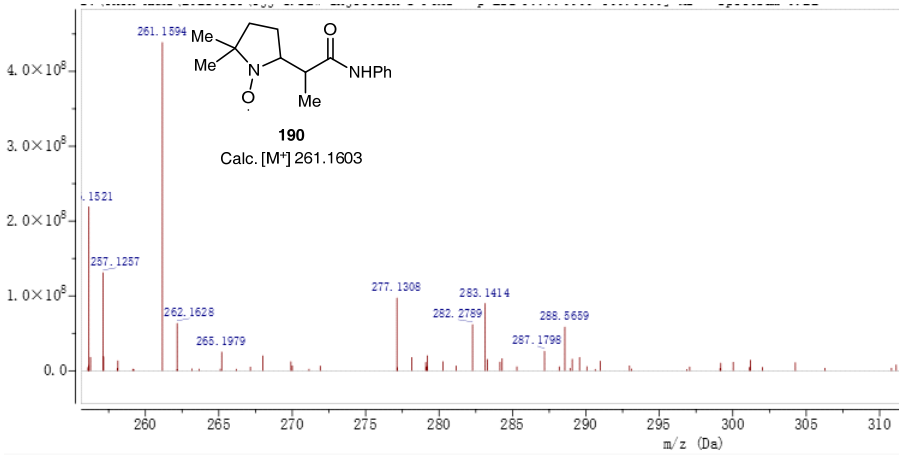


EPR and HRMS Experiments for the detection of intermediate during the reaction



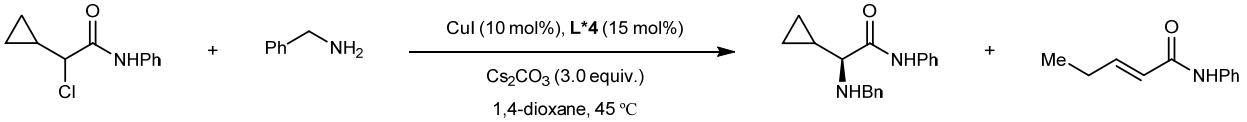
Calc. [M+] 261.1603
ESI-HRMS [M+] 261.1594





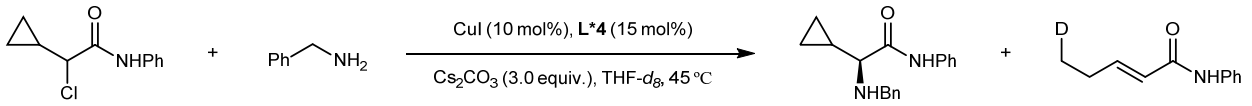
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (0.9 mg, 0.005 mmol, 10 mol%), **L*4** (3.9 mg, 0.0075 mmol, 15 mol%), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (13.7, 0.075 mmol, 1.5 equiv.), benzylamine **A1** (5.4 mg, 0.05 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (0.5 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 4 h. Next, 5,5-dimethyl-1-pyrroline *N*-oxide DMPO (2.0 equiv.) was added and the reaction mixture was stirred at 45 °C for another 1 h. The resulting reaction mixture was analyzed by EPR. Spin trapping experiments support the intermediacy of carbon-centered radicals in the alkylation reaction. Persistent nitroxyl radical **190** was formed. Meanwhile, the proposed radical adducts **190** were consistent with the results of ESI-HRMS. Therefore, we can conclude the formation of carbon center radical in this system.

Radical clock experiments



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred for at room temperature 1 h. After that, 2-chloro-2-cyclopropyl-*N*-phenylacetamide **E46** (62.7 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue

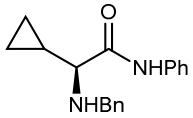
was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1 to 2/1) to yield the product **191** as a colorless oil (46.2 mg, 82% yield, 89% e.e.) and **192** as a colorless oil (7.6 mg, 22% yield).



E46 **A1** **191**, 79%, 84% ee **192**-d_1, 12%

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and THF-d_8 (1.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-2-cyclopropyl-*N*-phenylacetamide **E46** (62.7 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) and THF-d_8 (1.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1 to 2/1) to yield the product **191** as a colorless oil (44.2 mg, 79% yield, 84% e.e.) and **192**-d_1 as a colorless oil (4.1 mg, 12% yield).

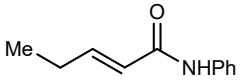
(*S*)-2-(Benzylamino)-2-cyclopropyl-*N*-phenylacetamide (191)



191

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 93/7, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.89 min, t_R (minor) = 23.14 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.62 – 7.59 (m, 2H), 7.37 – 7.26 (m, 7H), 7.12 – 7.07 (m, 1H), 3.81 (d, *J* = 13.3 Hz, 1H), 3.70 (d, *J* = 13.3 Hz, 1H), 2.50 (d, *J* = 9.1 Hz, 1H), 2.10 (s, 1H), 1.06 – 0.97 (m, 1H), 0.72 – 0.49 (m, 3H), 0.24 – 0.18 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 139.1, 137.8, 128.9, 128.6, 128.0, 127.4, 123.9, 119.3, 67.9, 52.7, 15.8, 3.7, 3.4.
HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M + H]^+$ 281.1648, found 281.1647.

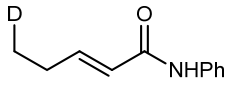
(*E*)-*N*-Phenylpent-2-enamide (192)



192

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 – 7.55 (m, 2H), 7.35 – 7.30 (m, 2H), 7.23 (s, 1H), 7.13 – 7.09 (m, 1H), 7.04 (dt, *J* = 15.2, 6.4 Hz, 1H), 5.92 (dt, *J* = 15.2, 1.7 Hz, 1H), 2.30 – 2.22 (m, 2H), 1.10 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 164.2, 147.9, 138.0, 129.0, 124.2, 122.9, 119.8, 25.2, 12.4.
HRMS (ESI) m/z calcd. for $C_{11}H_{14}NO$ $[M + H]^+$ 176.1070, found 176.1069.

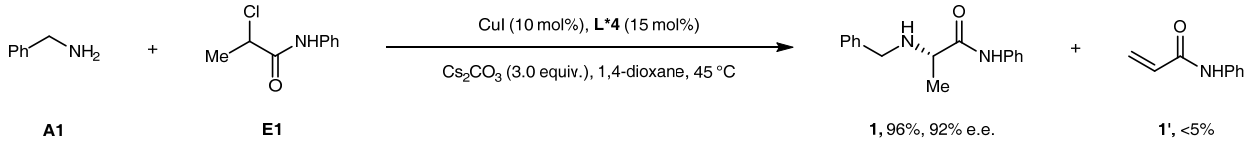
(*E*)-5-*d*-*N*-Phenylpent-2-enamide (192-d_1)



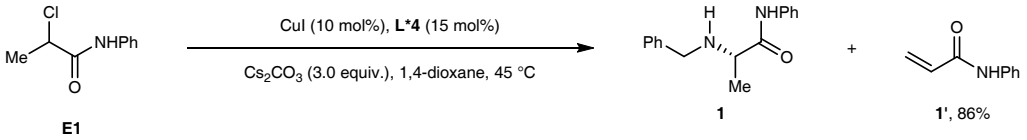
192-d_1

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 – 7.52 (m, 2H), 7.35 – 7.30 (m, 2H), 7.17 (s, 1H), 7.13 – 7.09 (m, 1H), 7.04 (dt, *J* = 15.2, 6.4 Hz, 1H), 5.91 (dt, *J* = 15.3, 1.8 Hz, 1H), 2.31 – 2.20 (m, 2H), 1.10 (t, *J* = 7.4 Hz, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 164.1, 147.9, 138.0, 129.0, 124.2, 122.9, 119.9, 25.2, 12.4.
^{2}H NMR (92 MHz, $CHCl_3$) δ 1.11 (s, 1H).
HRMS (ESI) m/z calcd. for $C_{11}H_{13}DNO$ $[M + H]^+$ 177.1133, found 177.1135.

The likely formation of alkyl radicals in the absence of amines

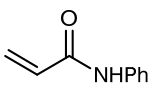


Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (54.9 mg, 0.30 mmol, 1.5 equiv.), benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **1** as a white solid (48.6 mg, 96% yield, 92% e.e.).



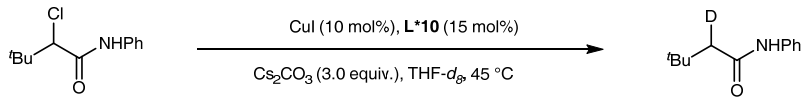
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (36.6 mg, 0.20 mmol, 1.0 equiv.) and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 24 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **1'** as a white solid (25.4 mg, 86% yield).

***N*-Phenylacrylamide (1')**



1'

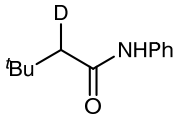
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.60 – 7.58 (m, 2H), 7.34 – 7.30 (m, 2H), 7.14 – 7.10 (m, 1H), 6.45 – 6.40 (m, 1H), 6.31 – 6.25 (m, 1H), 5.76 – 5.73 (m, 1H).
^{13}C NMR (100MHz, $CDCl_3$) δ 163.7, 137.7, 131.2, 129.0, 127.8, 124.5, 120.0.
HRMS (ESI) *m/z* calcd. for $C_9H_{10}NO$ $[M + H]^+$ 148.0757, found 148.0759.



E40 **193-d_1**

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*10** (12.9 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and THF-d_8 (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-3,3-dimethyl-*N*-phenylbutanamide **E40** (45.0 mg, 0.20 mmol, 1.0 equiv.) and THF-d_8 (0.5 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and the residue was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **193**-d_1 as a white solid (2.6 mg, 7% yield).

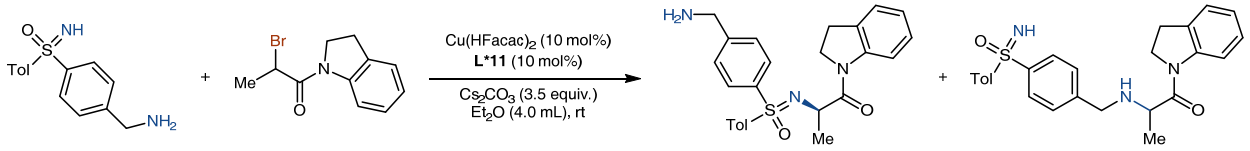
2-*d*-3,3-Dimethyl-N-phenylbutanamide (193-d_1)



193-d_1

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 – 7.50 (m, 2H), 7.34 – 7.30 (m, 2H), 7.12 – 7.04 (m, 2H), 2.23 (s, 1H), 1.11 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.0, 137.8, 129.0, 124.2, 119.8, 51.7, 31.3, 29.8.
^{2}H NMR (61 MHz, $CHCl_3$) δ 2.23 (s, 1H).
HRMS (ESI) m/z calcd. for $C_{12}H_{17}DNO$ $[M + H]^+$ 193.1446, found 193.1450.

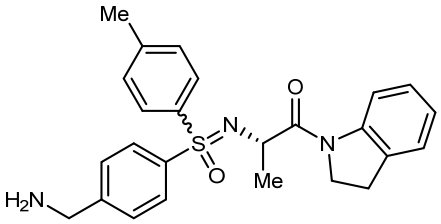
Mechanistic difference of the current N-alkylation with our previous C–N coupling



A12 **E1'** **195**, 78%, 1.1:1 d.r. **12'**, trace

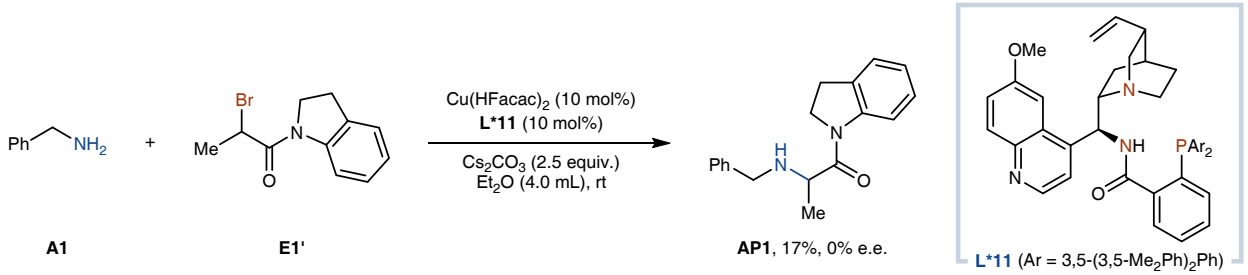
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with (4-(aminomethyl)phenyl)(imino)(*p*-tolyl)-λ^6-sulfanone **A12** (52.0 mg, 0.20 mmol, 1.0 equiv.), $Cu(HFacac)_2$ (8.8 mg, 0.020 mmol, 10 mol%), **L*11** (20.5 mg, 0.020 mmol, 10 mol%), Cs_2CO_3 (228.1 mg, 0.70 mmol, 3.5 equiv.) and anhydrous Et_2O (4.0 mL). Then, 2-bromo-1-(indolin-1-yl)propan-1-one **E1'** (50.6 mg, 0.20 mmol, 1.0 equiv.) was added into the mixture and stirred at room temperature for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed with EtOAc. The filtrate was concentrated and the residue was purified by preparative thin-layer chromatography on silica gel (CH_2Cl_2/CH_3OH = 10/1) to yield the product **195** as a yellowish oil (68.0 mg, 78% yield, 1:1.1 d.r.). The diastereomeric ratio was determined by crude ^{1}H NMR spectroscopy.

(*S*)-(4-(Aminomethyl)phenyl)((1-(indolin-1-yl)-1-oxopropan-2-yl)imino)(*p*-tolyl)- λ^6-sulfanone (195)



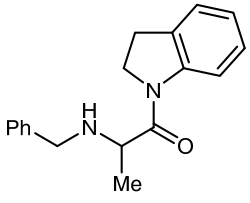
195

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 – 8.19 (m, 1H), 7.95 – 7.93 (m, 1H), 7.86 – 7.84 (m, 2H), 7.78 – 7.76 (m, 1H), 7.47 – 7.45 (m, 1H), 7.38 – 7.36 (m, 1H), 7.24 – 7.22 (m, 1H), 7.17 – 7.10 (m, 3H), 7.00 – 6.95 (m, 1H), 4.27 – 4.19 (m, 1H), 4.08 – 3.87 (m, 6H), 3.10 – 2.94 (m, 2H), 2.35 (s, 1.43H), 2.26 (s, 1.57H), 1.44 – 1.40 (m, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 172.1, 143.4, 143.3, 143.0, 140.3, 139.6, 138.0, 137.8, 131.32, 131.27, 129.8, 129.7, 128.5, 128.39, 128.35, 128.31, 128.26, 127.22, 127.20, 124.2, 123.6, 117.5, 51.9, 51.7, 47.6, 44.9, 44.7, 28.04, 28.01, 21.4, 21.3, 21.0, 20.9.
HRMS (ESI) m/z calcd. for $C_{25}H_{28}N_3O_2S$ $[M + H]^+$ 434.1897, found 434.1904.



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with 2-bromo-1-(indolin-1-yl)propan-1-one **E1'** (50.6 mg, 0.20 mmol, 1.0 equiv.) $Cu(HFacac)_2$ (8.8 mg, 0.020 mmol, 10 mol%), **L*11** (20.5 mg, 0.02 mmol, 10 mol%), Cs_2CO_3 (163.0 mg, 0.50 mmol, 2.5 equiv.) and anhydrous Et_2O (4.0 mL). Then, benzylamine **A1** (21.4 mg, 0.20 mmol, 1.0 equiv.) was added into the mixture and stirred at room temperature for 36 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed with EtOAc. The filtrate was concentrated and the residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 1/2) to afford the desired product **AP1** as a colorless oil (9.7 mg, 17% yield, 0% e.e.).

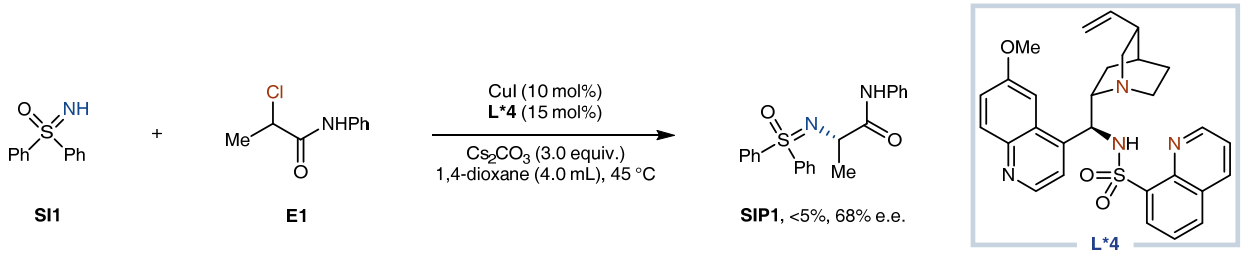
2-(Benzylamino)-1-(indolin-1-yl)propan-1-one (AP1)



AP1

HPLC analysis: Chiralcel IG (*n*-hexane /*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.22 min, t_R (major) = 18.91 min.

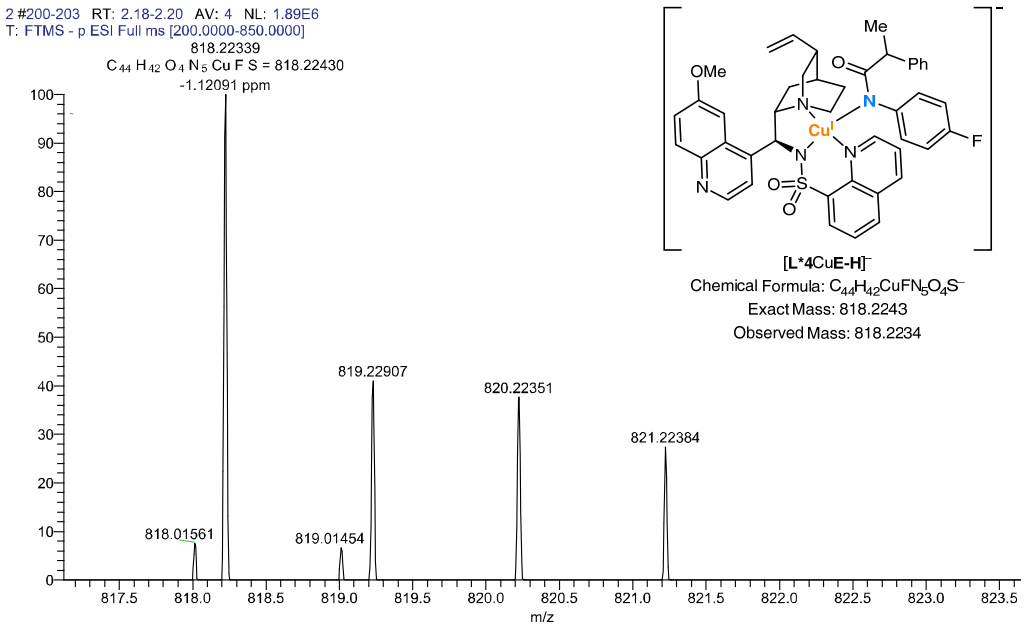
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.29 (m, 4H), 7.26 – 7.19 (m, 3H), 7.07 – 7.03 (m, 1H), 3.98 – 3.93 (m, 2H), 3.88 (d, *J* = 12.8 Hz, 1H), 3.62 (d, *J* = 12.8 Hz, 1H), 3.51 (q, *J* = 6.8 Hz, 1H), 3.18 (t, *J* = 8.5 Hz, 2H), 2.16 (s, 1H), 1.33 (d, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.8, 142.8, 139.7, 131.1, 128.4, 128.3, 127.6, 127.0, 124.6, 124.0, 117.3, 54.8, 51.9, 47.3, 28.0, 19.0.
HRMS (ESI) *m/z* calcd. for $C_{18}H_{20}N_2O$ $[M + H]^+$ 281.1648, found 281.1651.



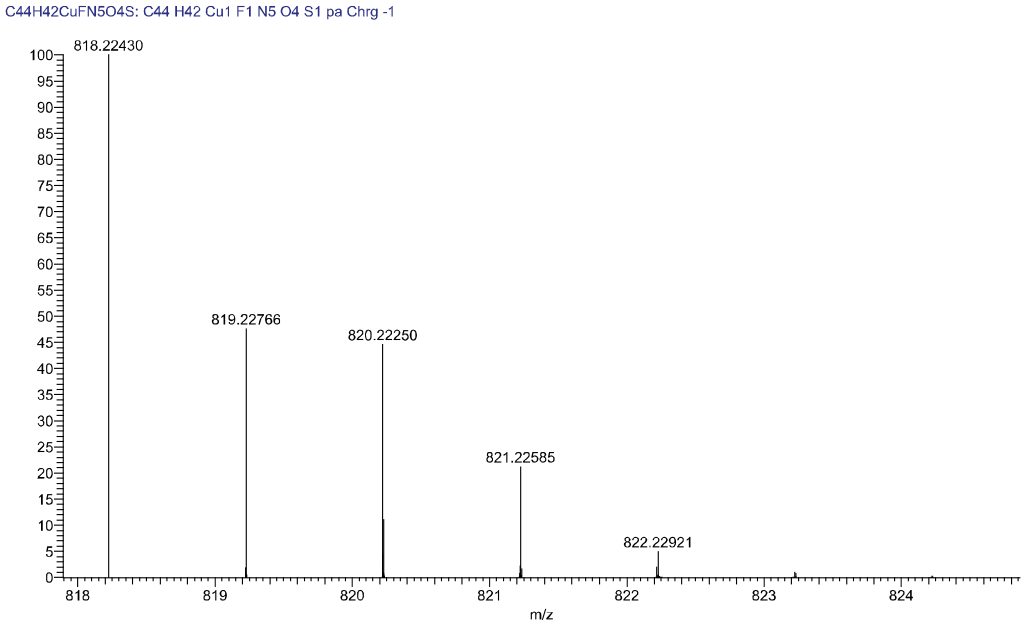
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol%), **L*4** (15.4 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv.), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-chloro-*N*-phenylpropanamide **E1** (754.9 mg, 0.30 mmol, 1.5 equiv.), iminodiphenyl-λ^6-sulfanone **SI1** (43.4 mg, 0.20 mmol, 1.0 equiv.) and anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 45 °C for 72 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated and afforded the desired product **SIP1** (yield of **SIP1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard, <5%, 68% e.e.)[3].

High resolution mass spectrum of $[L^*4CuE\text{-}H]^-$

Zoom in, $[C_{44}H_{42}CuFN_5O_4S]^-$ weak

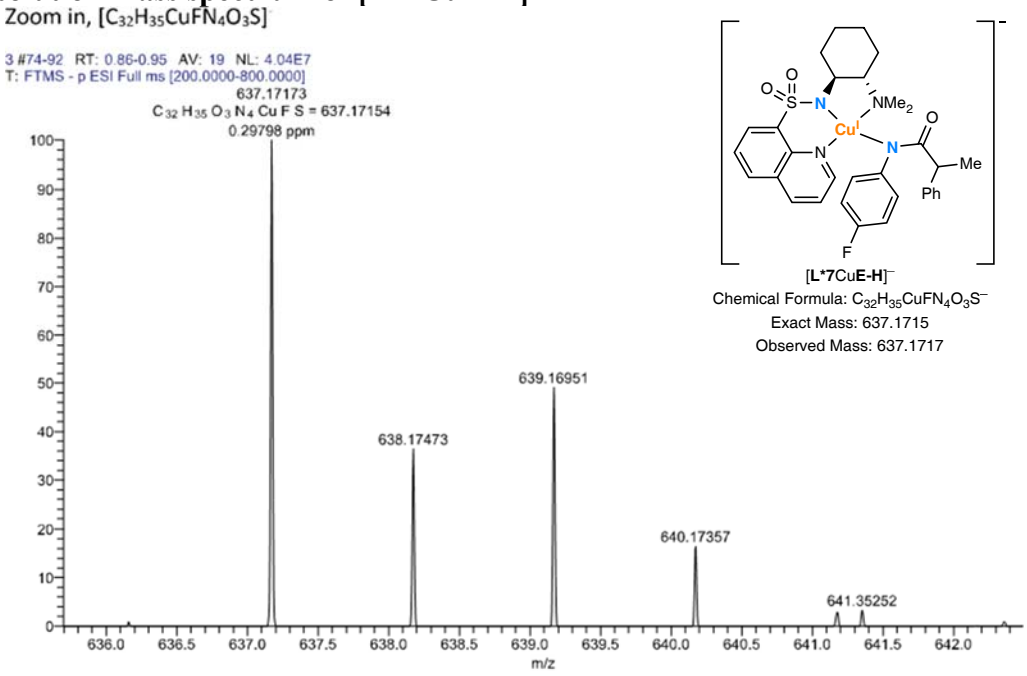


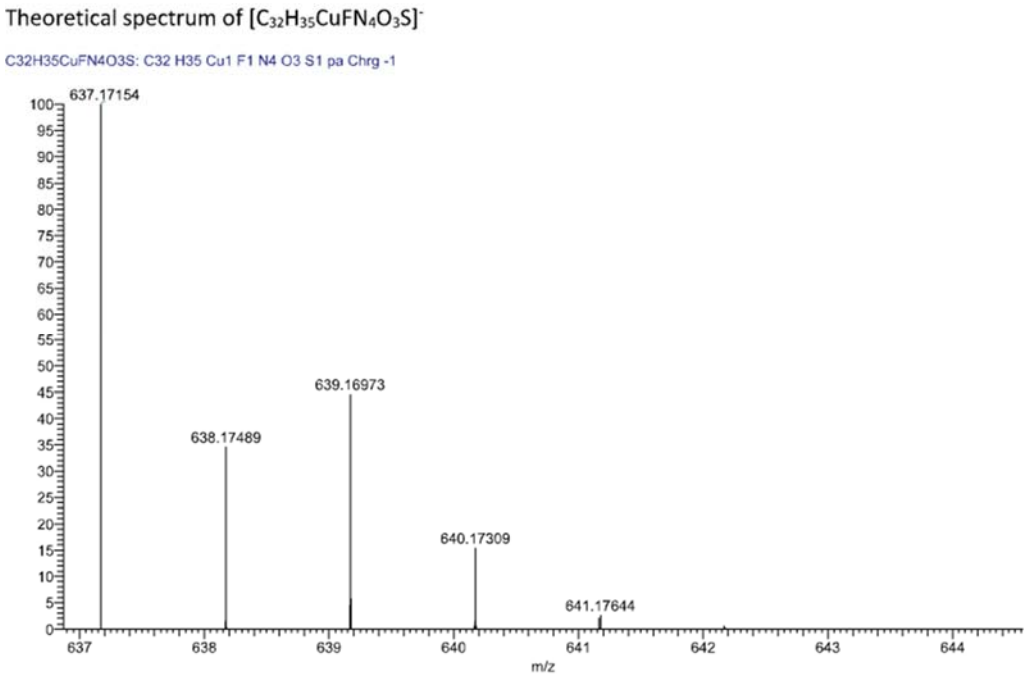
Theoretical spectrum of $[C_{44}H_{42}CuFN_5O_4S]^-$



A solution of CuI (10 mol%), **L*4** (15 mol%), and Cs_2CO_3 (2.1 equiv.) in MeCN (2.0 mL) was stirred overnight at rt under argon and then, *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H** (0.050 mmol) was added. The resulting mixture was stirred under the same conditions for 1 h before direct high-resolution mass spectroscopic analysis.

High resolution mass spectrum of [L*7CuE-H]⁻

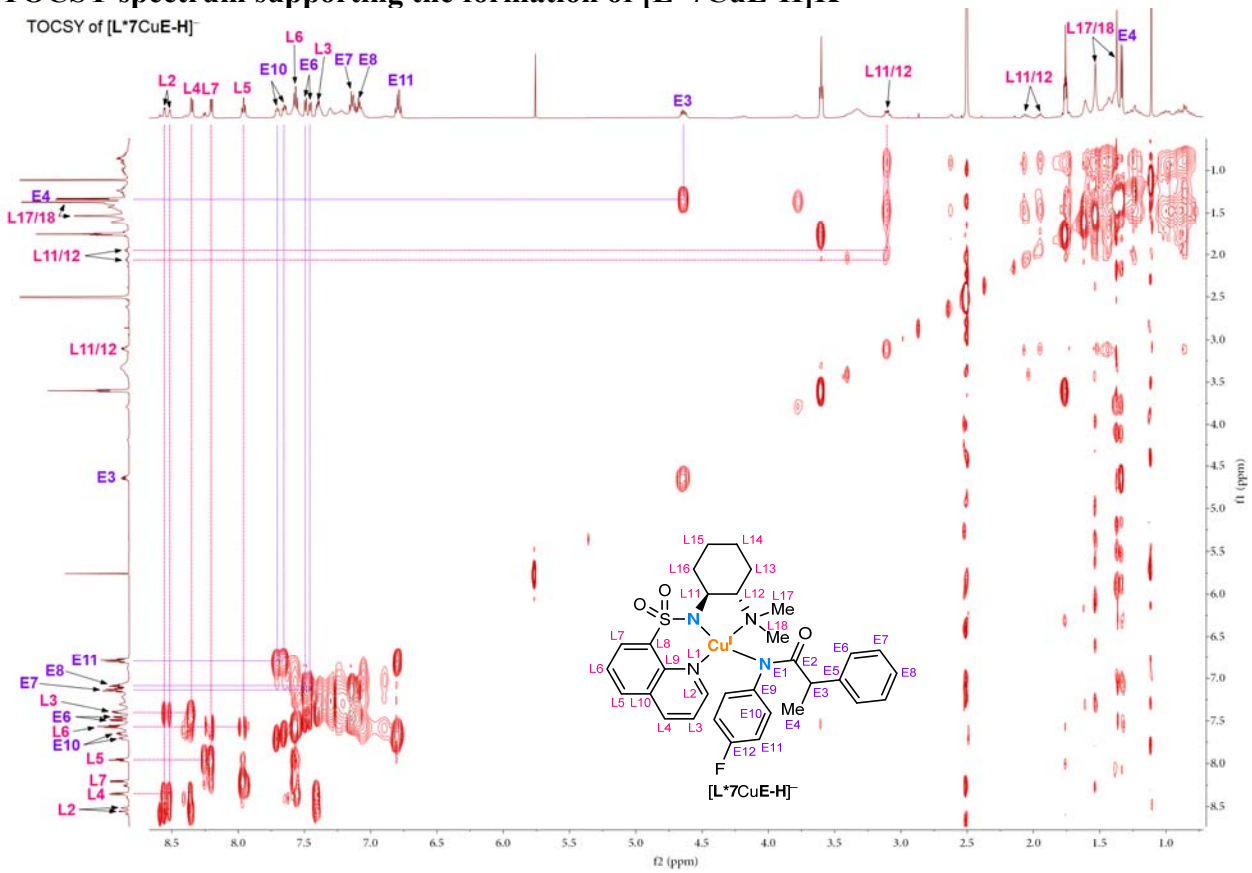




A solution of CuI (10 mol%), **L*7** (15 mol%), and Cs_2CO_3 (2.1 equiv.) in MeCN (2.0 mL) was stirred overnight at rt under argon and then, *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H** (0.050 mmol) was added. The resulting mixture was stirred under the same conditions for 1 h before direct high-resolution mass spectroscopic analysis.

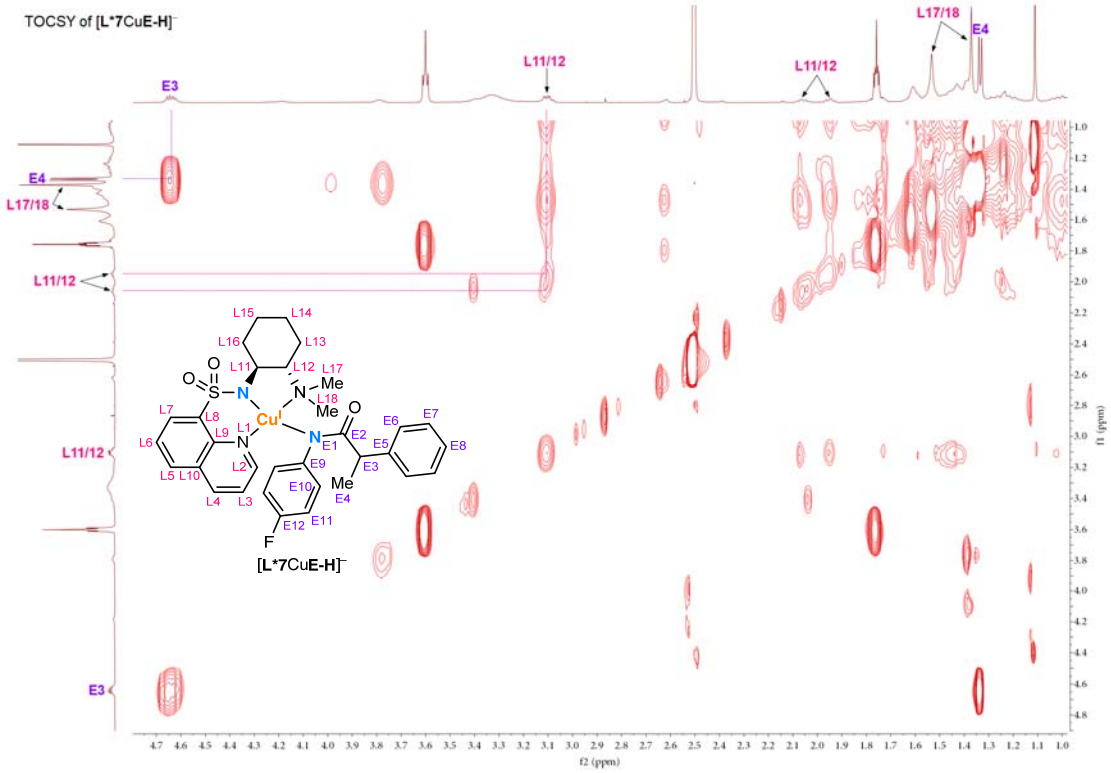
Spectra supporting the formation of [L*7CuE-H]K

TOCSY spectrum supporting the formation of [L*7CuE-H]K

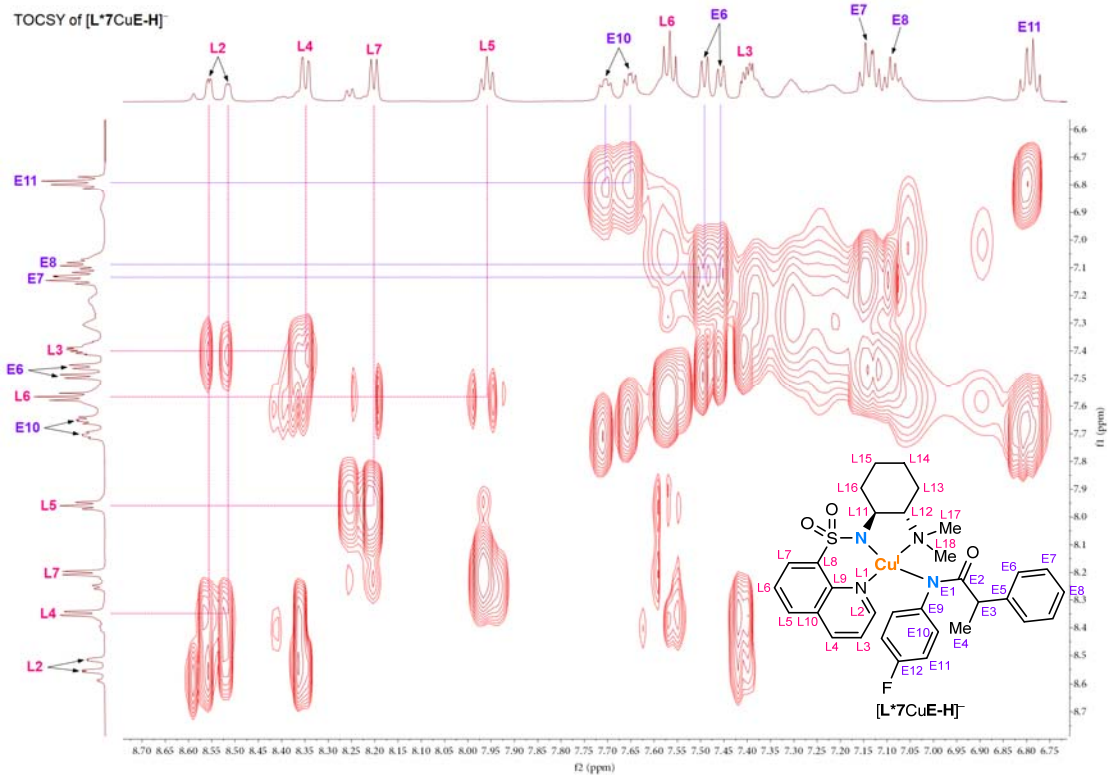


Protocol: A mixture of *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF was stirred at rt for 1 h under argon. Upon completion, the mixture was concentrated under reduced pressure and the residue was dissolved in DMSO-d_6 for further NMR spectroscopic characterization.

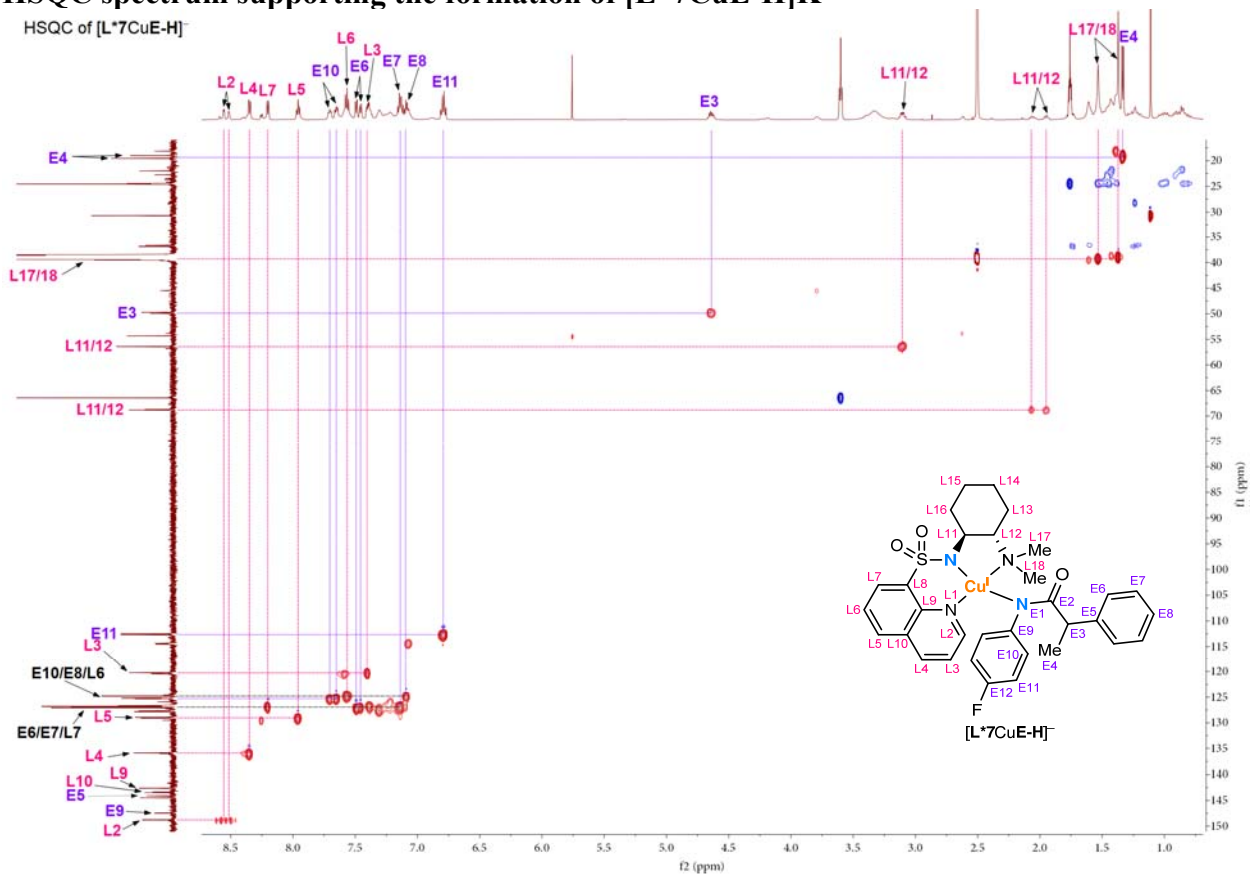
TOCSY local zoom spectrum supporting the formation of [L*7CuE-H]K



TOCSY local zoom spectrum supporting the formation of [L*7CuE-H]K

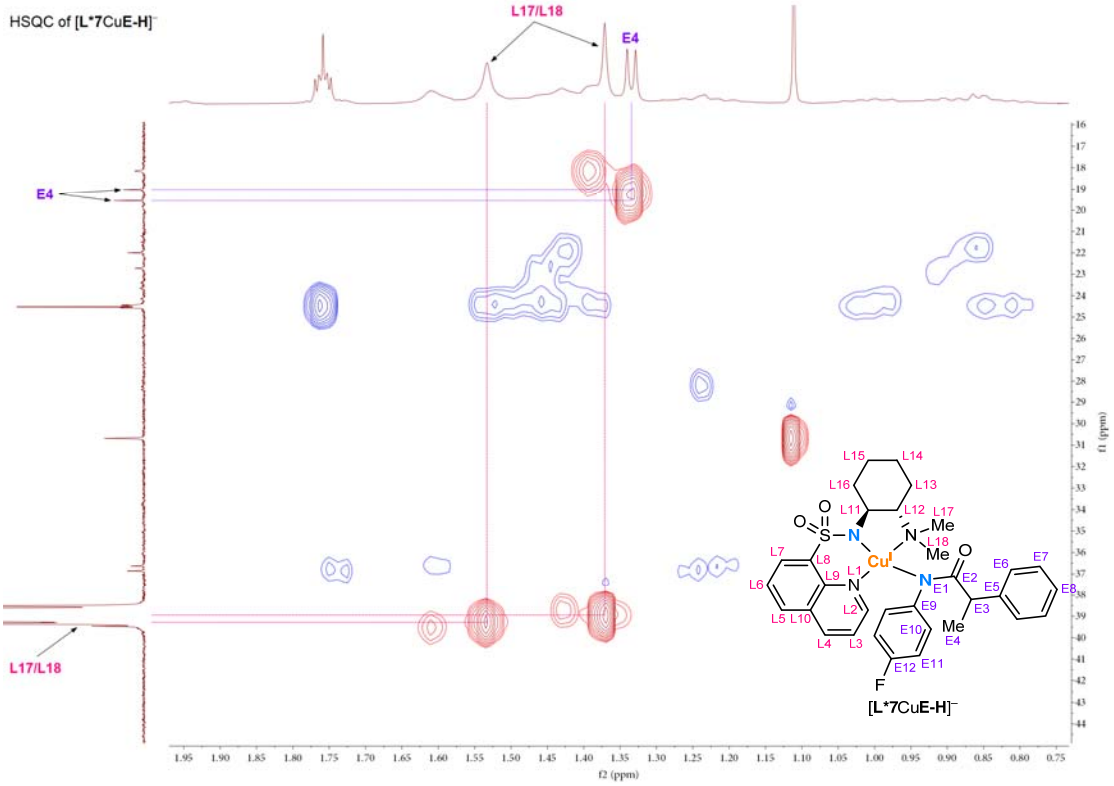


HSQC spectrum supporting the formation of [L*7CuE-H]K

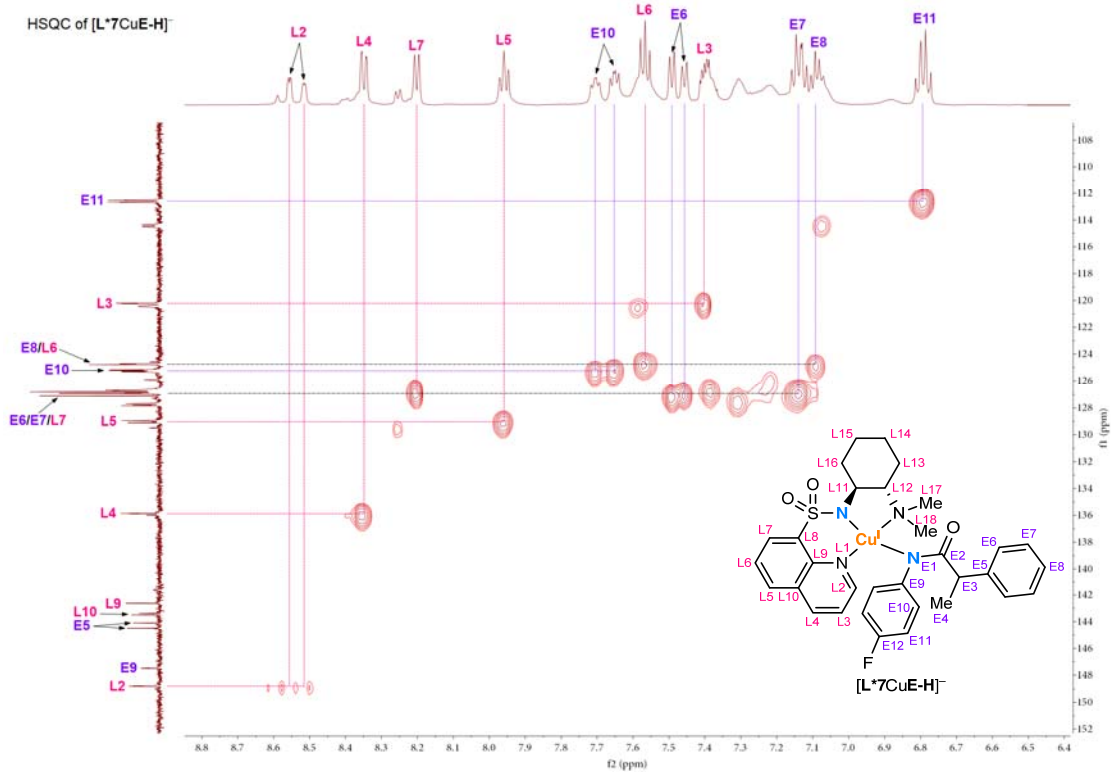


Protocol: A mixture of *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF was stirred at rt for 1 h under argon. Upon completion, the mixture was concentrated under reduced pressure and the residue was dissolved in DMSO-d_6 for further NMR spectroscopic characterization.

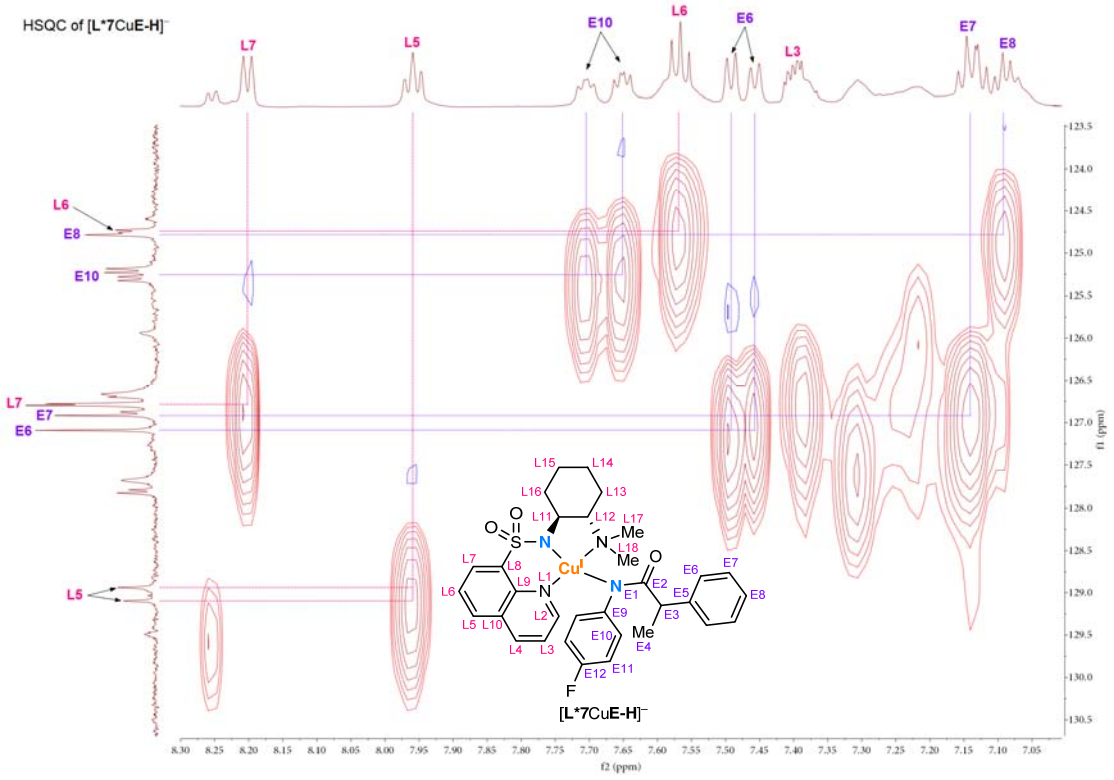
HSQC local zoom spectrum supporting the formation of [L*7CuE-H]K



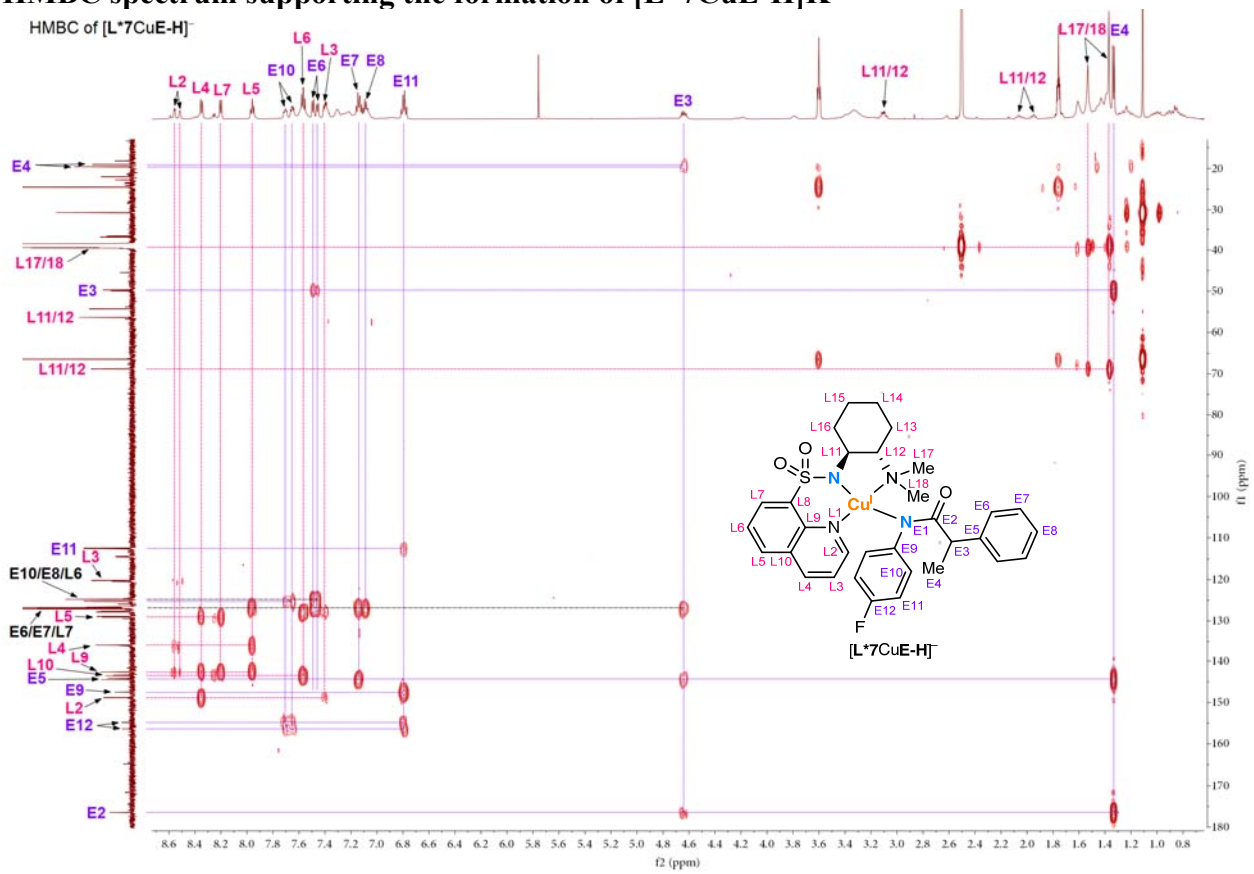
HSQC local zoom spectrum supporting the formation of [L*7CuE-H]K



HSQC local zoom spectrum supporting the formation of [L*7CuE-H]K

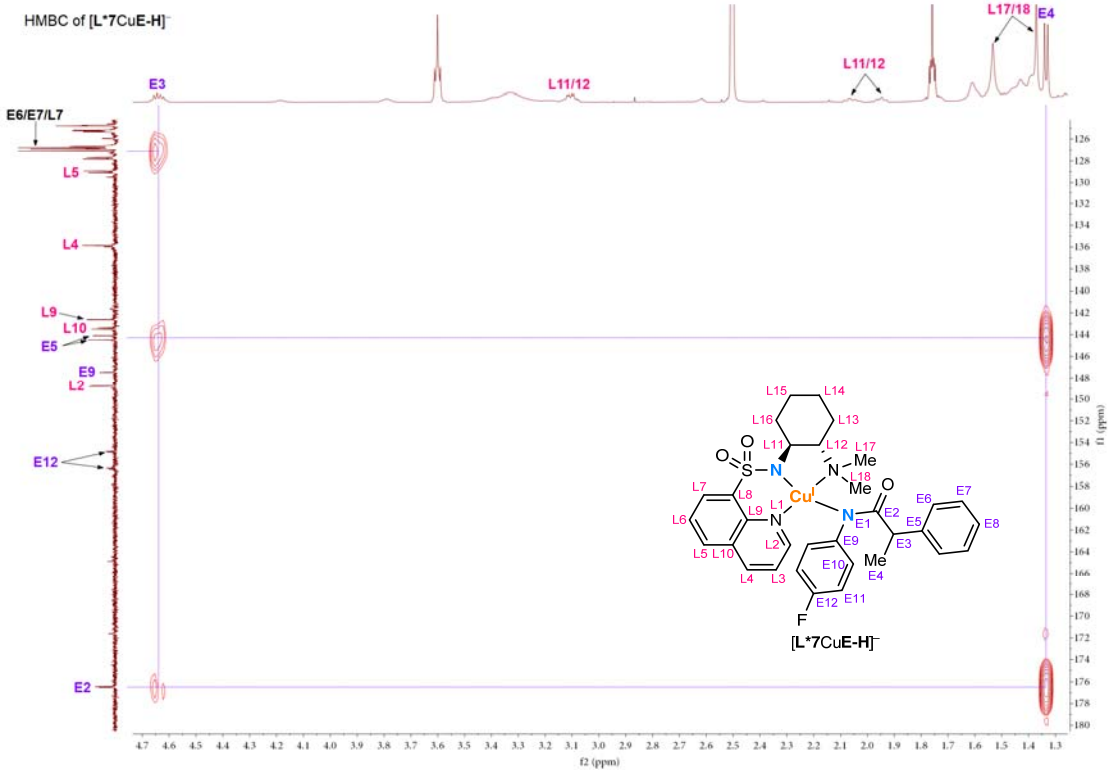


HMBC spectrum supporting the formation of [L*7CuE-H]K

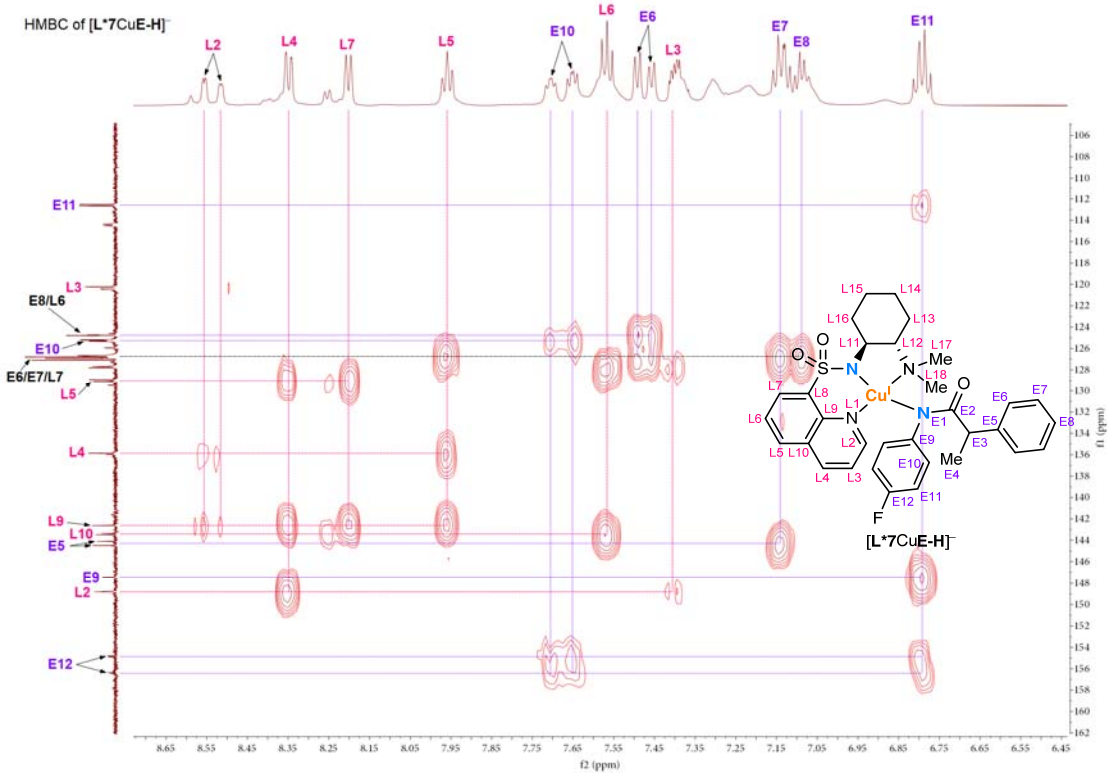


Protocol: A mixture of *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF was stirred at rt for 1 h under argon. Upon completion, the mixture was concentrated under reduced pressure and the residue was dissolved in DMSO-d_6 for further NMR spectroscopic characterization.

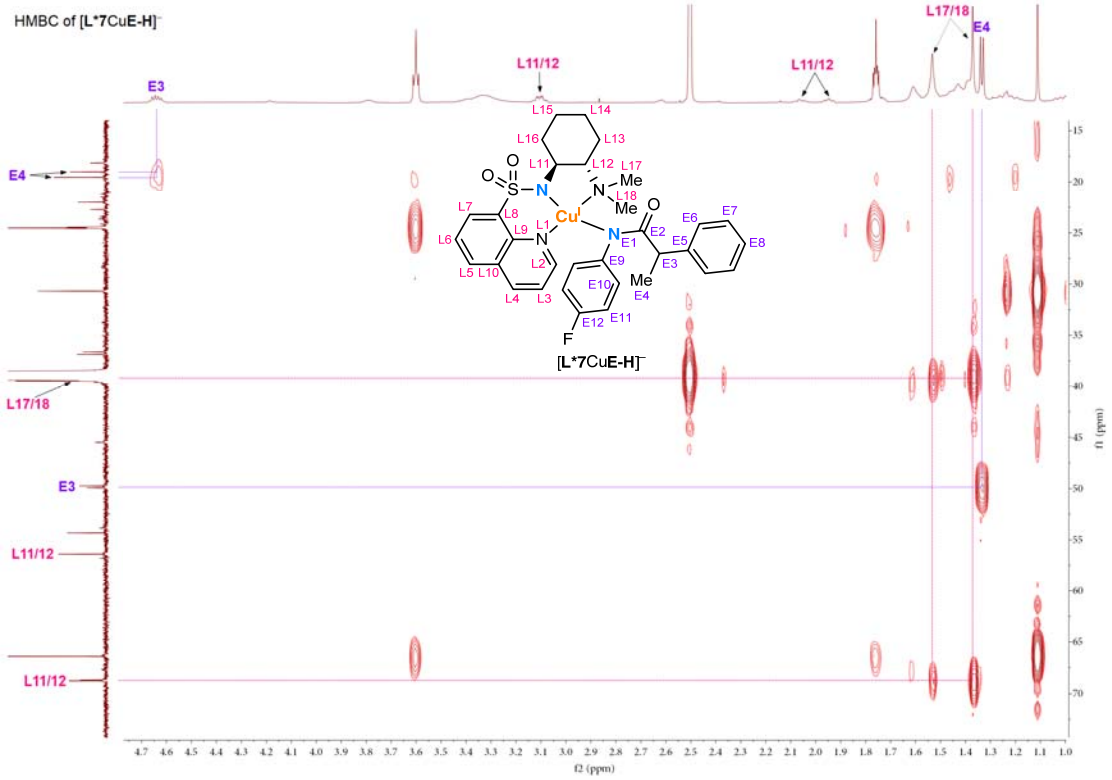
HMBC local zoom spectrum supporting the formation of [L*7CuE-H]K



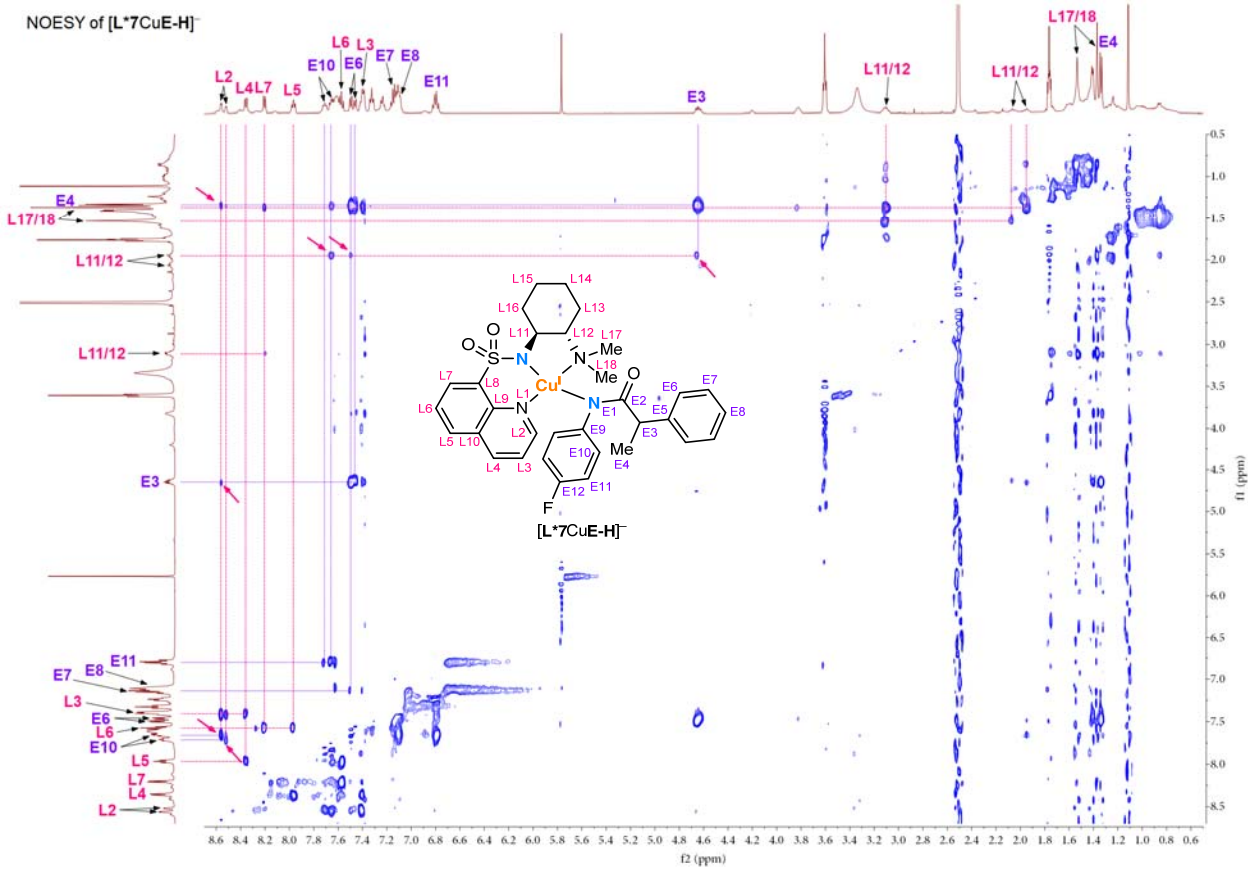
HMBC local zoom spectrum supporting the formation of [L*7CuE-H]K



HMBC local zoom spectrum supporting the formation of [L*7CuE-H]K



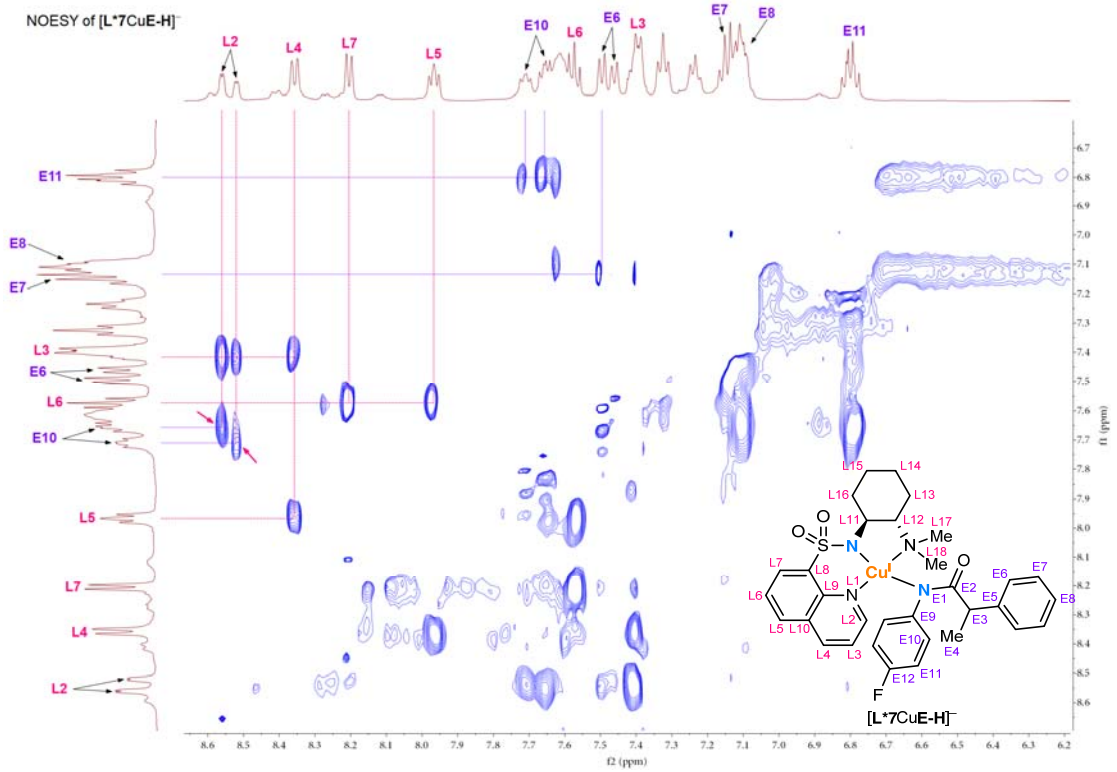
NOESY spectrum supporting the formation of [L*7CuE-H]K



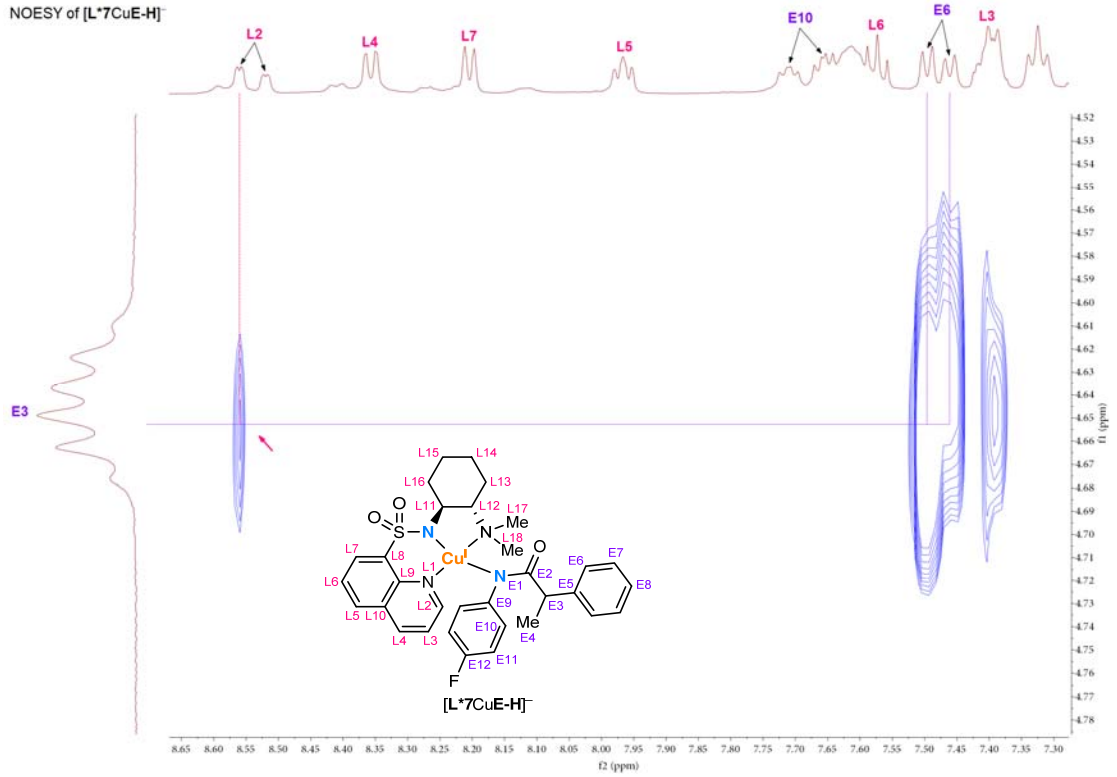
A series of cross-peaks (indicated by pink arrows) corresponding to hydrogen atoms of **L*7** and **E-H**, respectively, were identified in this spectrum, which indicated the coexistence of these two fragments within one complex molecule.

Protocol: A mixture of *N*-(4-fluorophenyl)-2-phenylpropanamide **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF was stirred at rt for 1 h under argon. Upon completion, the mixture was concentrated under reduced pressure and the residue was dissolved in DMSO-d_6 for further NMR spectroscopic characterization.

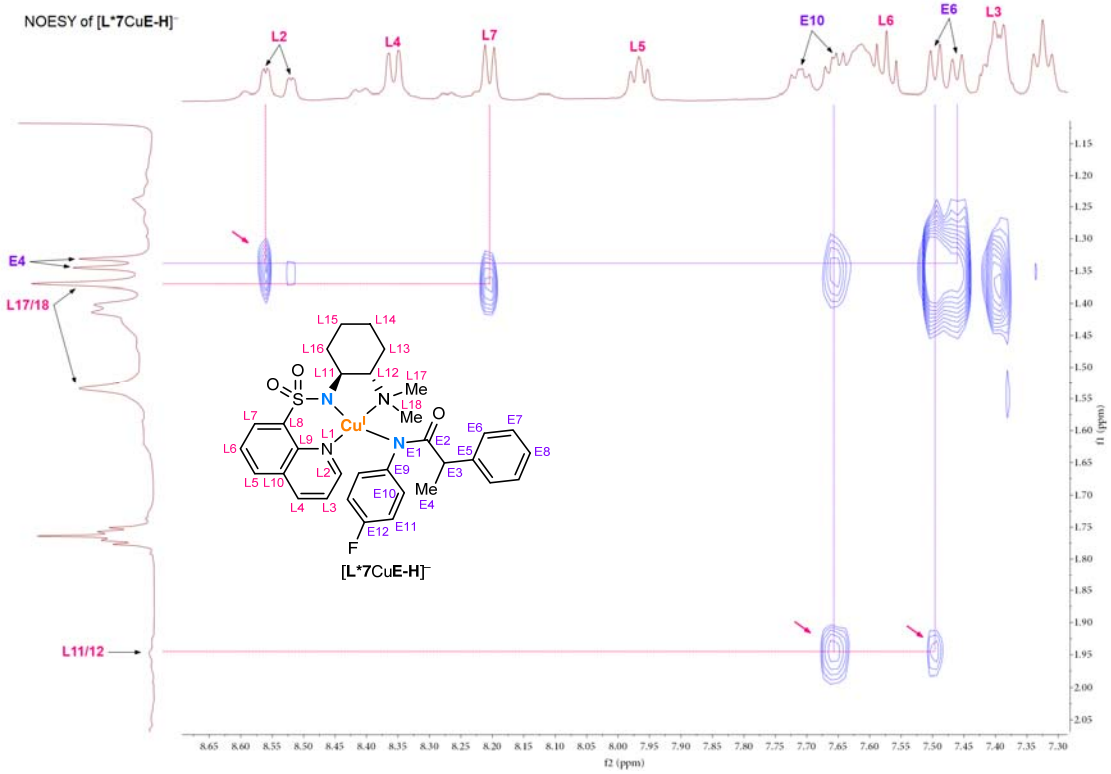
NOESY local zoom spectrum supporting the formation of [L*7CuE-H]K



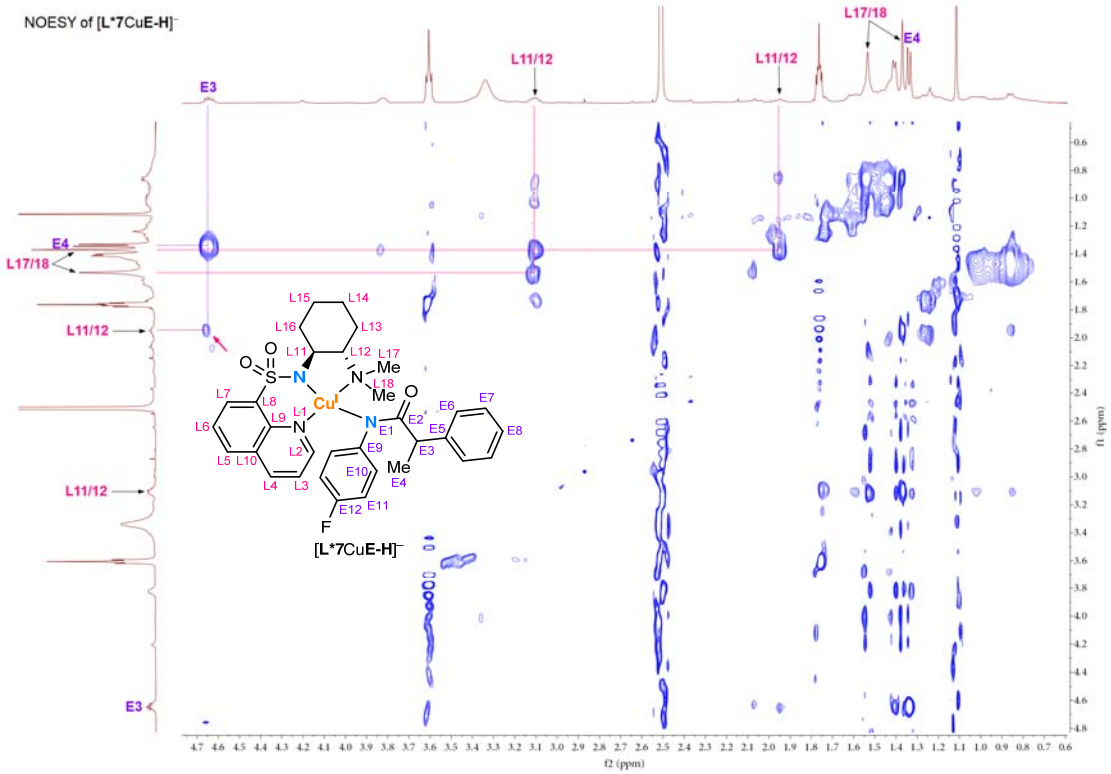
NOESY local zoom spectrum supporting the formation of [L*7CuE-H]K



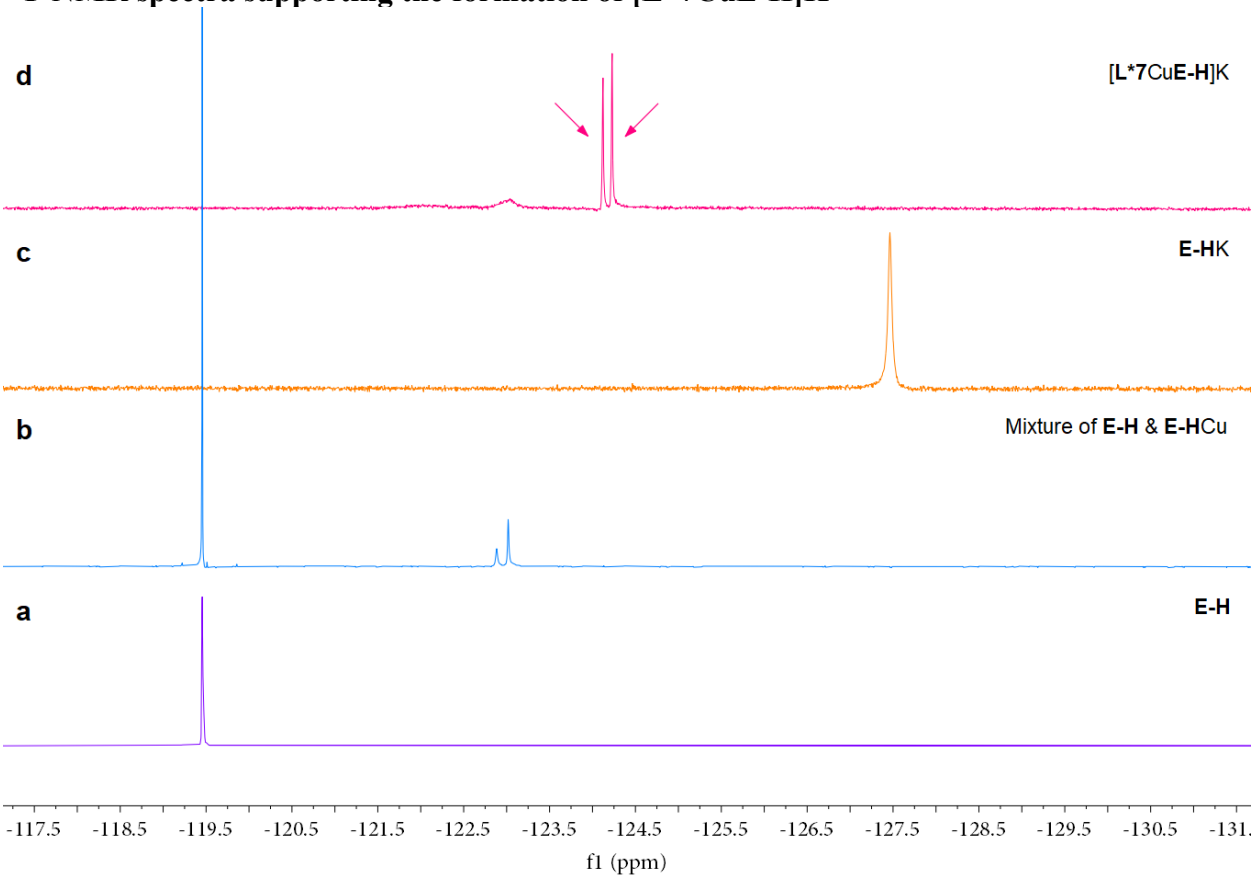
NOESY local zoom spectrum supporting the formation of [L*7CuE-H]K



NOESY local zoom spectrum supporting the formation of [L*7CuE-H]K

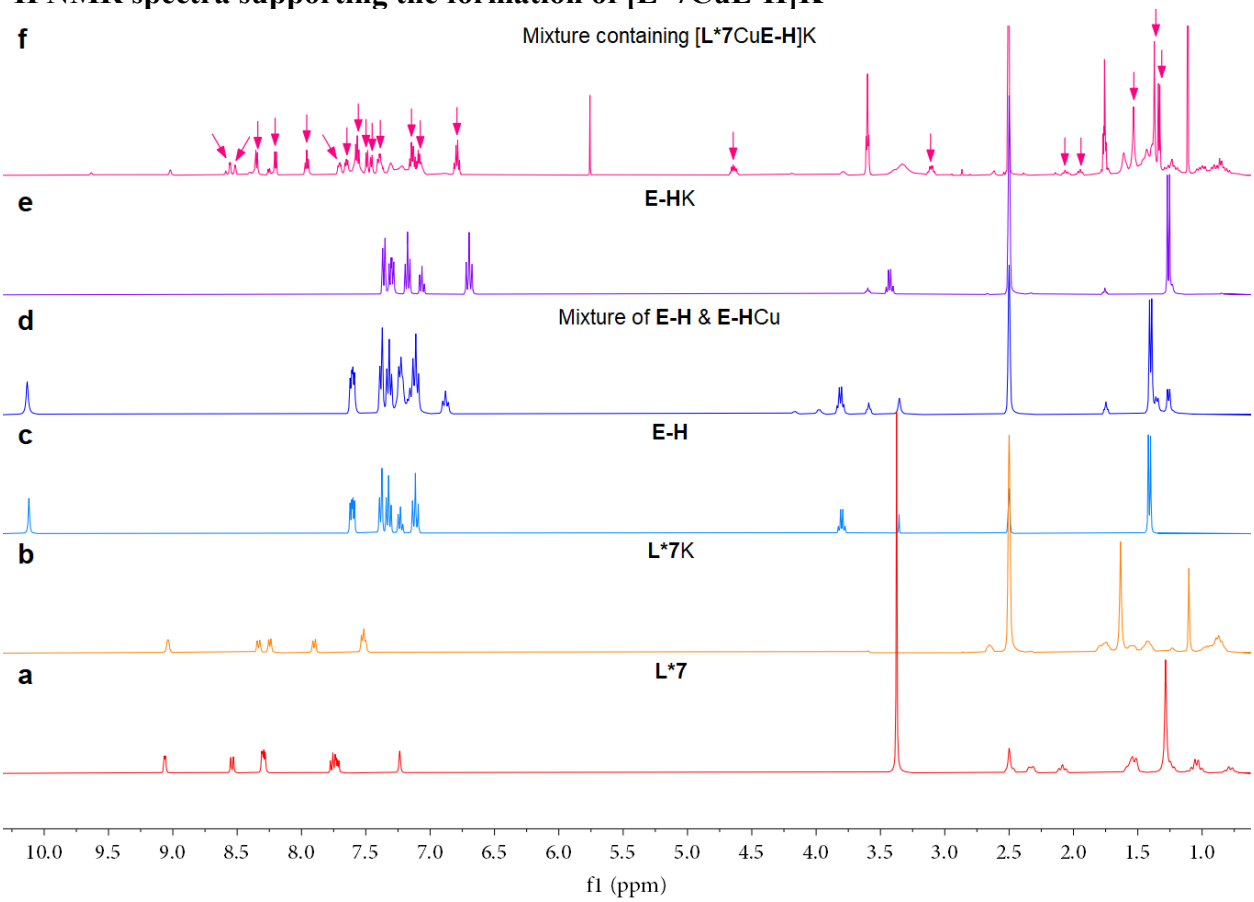


^{19}F NMR spectra supporting the formation of [L*7CuE-H]K



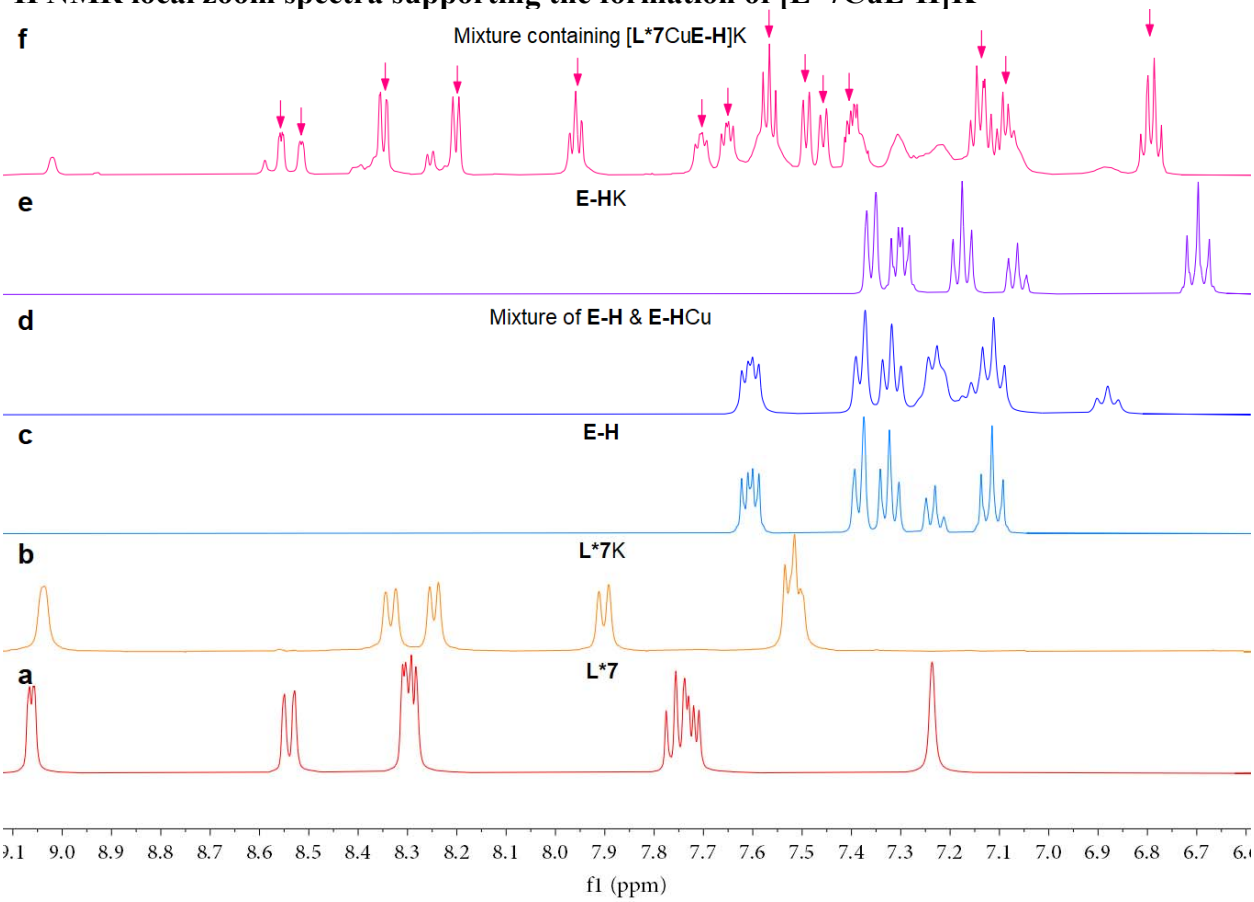
The two peaks (indicated by pink arrows) corresponding to the proposed [**L*7**Cu**E-H**]K appeared downfield relative to that of **E-H**K and upfield relative to that of **E-H** and **E-H**Cu, respectively, which were consistent with the corresponding electron densities of the phenyl rings attached to the amide N. **a**, ^{19}F NMR spectrum of **E-H** in DMSO-*d*6. **b**, ^{19}F NMR spectrum of the crude reaction mixture in DMSO-*d*6, which was prepared by stirring **E-H**, CuI (1.0 equiv.), and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **c**, ^{19}F NMR spectrum of **E-H**K in DMSO-*d*6, which was prepared by stirring **E-H** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 2 h. **d**, ^{19}F NMR spectrum of [**L*7**Cu**E-H**]K in DMSO-*d*6, which was prepared by stirring **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF at rt under argon for 1 h.

^{1}H NMR spectra supporting the formation of [L*7CuE-H]K

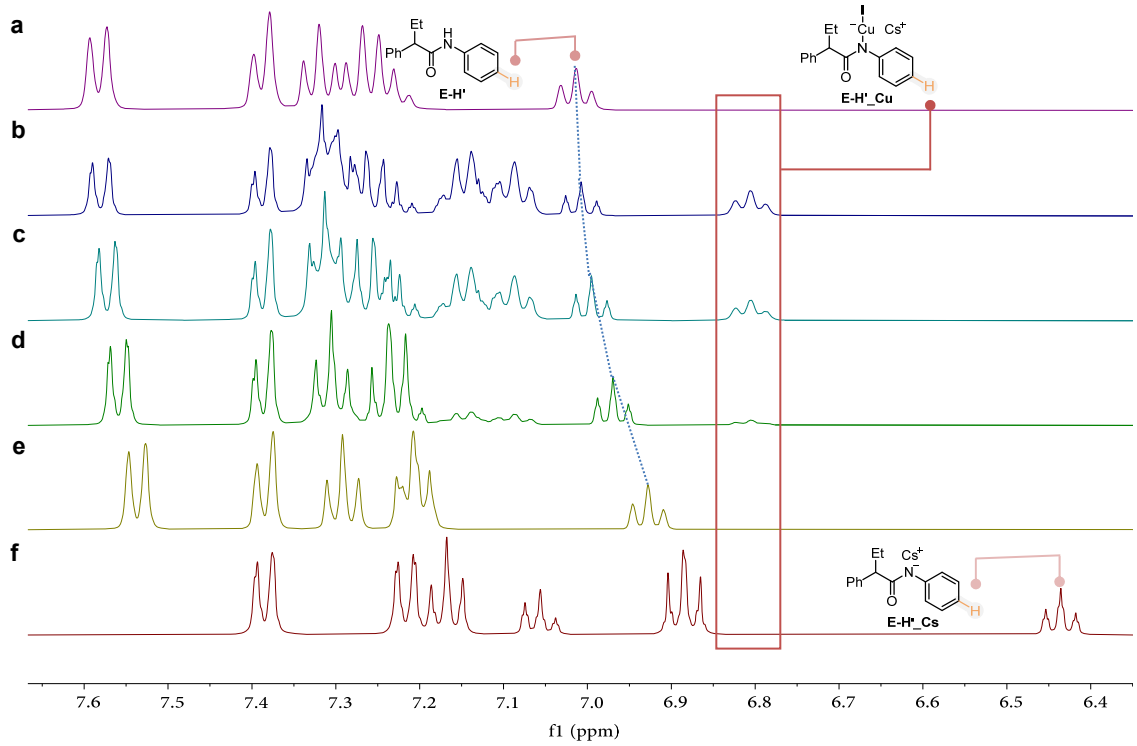


The two sets of peaks (indicated by pink arrows) corresponding to the proposed [**L*7**Cu**E-H**]K were identified by comparing the ^{1}H NMR spectrum of the mixture with that of others. **a**, ^{1}H NMR spectrum of **L*7** in DMSO-*d*6. **b**, ^{1}H NMR spectrum of **L*7**K in DMSO-*d*6, which was prepared by stirring **L*7** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **c**, ^{1}H NMR spectrum of **E-H** in DMSO-*d*6. **d**, ^{1}H NMR spectrum of the crude reaction mixture in DMSO-*d*6, which was prepared by stirring **E-H**, CuI (1.0 equiv.), and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 1 h. **e**, ^{1}H NMR spectrum of **E-H**K in DMSO-*d*6, which was prepared by stirring **E-H** and KO^{t}Bu (1.0 equiv.) in anhydrous THF at rt under argon for 2 h. **f**, ^{1}H NMR spectrum of [**L*7**Cu**E-H**]K in DMSO-*d*6, which was prepared by stirring **E-H**, **L*7** (1.0 equiv.), CuI (1.0 equiv.), and KO^{t}Bu (2.0 equiv.) in anhydrous THF at rt under argon for 1 h.

^{1}H NMR local zoom spectra supporting the formation of [L*7CuE-H]K



Deprotometalation of model amide in presence of CuI and Cs_2CO_3



a, ^{1}H-NMR spectrum of **E-H'** (25 mM) in DMSO-d_6. **b**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (1.0 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-d_6. **c**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (0.50 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-d_6. **d**, ^{1}H-NMR spectrum of **E-H'** (25 mM), CuI (0.25 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-d_6. **e**, ^{1}H-NMR spectrum of **E-H'** (25 mM) and Cs_2CO_3 (2.0 equiv.) in DMSO-d_6. **f**, ^{1}H-NMR spectrum of **E-H'_Cs** (25 mM) in DMSO-d_6. Procedure for panels **b**–**d**: A mixture of **E-H'** (6.0 mg, 0.025 mmol), CuI (0.25–1.0 equiv.), and Cs_2CO_3 (2.0 equiv.) in DMSO-d_6 (1.0 mL) was stirred at rt for 2 h under argon atmosphere. Upon completion, the mixture was filtered through a 0.22 μm filter and the filtrate was transferred into an NMR tube in a glove box for ^{1}H NMR spectroscopic analysis.

Computational study

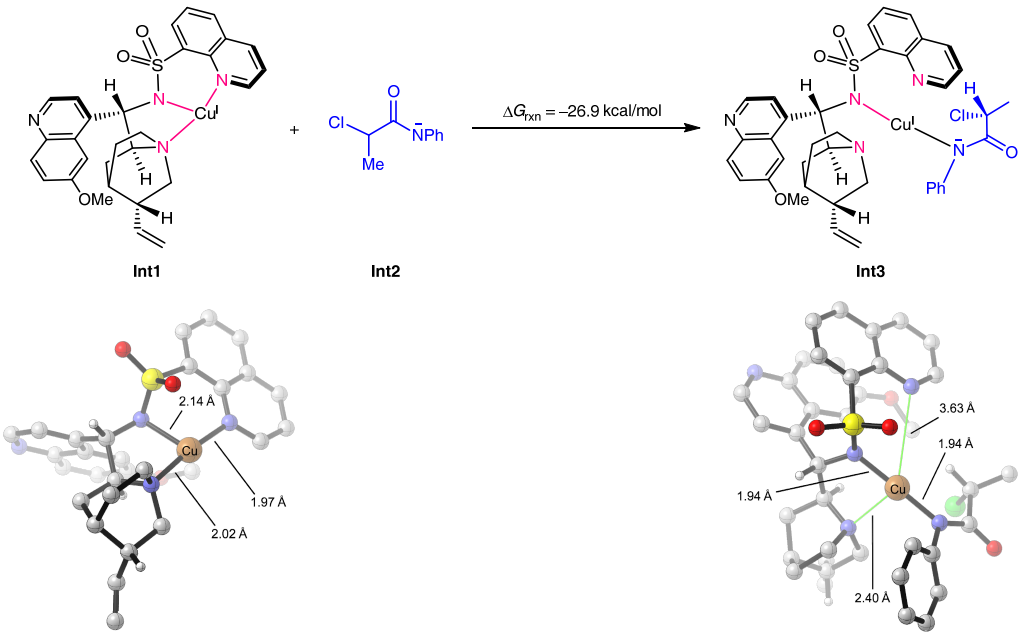
Computational Details

All density functional theory (DFT) calculations were performed using Gaussian 16 program[8] with default parameters. Geometry optimizations were conducted with B3LYP functional,[9] employing the D3 version of Grimme's dispersion corrections[10] with Becke-Johnson damping[11]. LANL2DZ basis set[12] was used for copper and 6-31G(d) basis set was used for all other atoms. (5d,7f) keyword in Gaussian 16 software is used. Single-point energies and solvent effects at 1,4-dioxane were evaluated with B3LYP functional and D3 version of Grimme's dispersion corrections with Becke-Johnson damping. SDD basis set[13] was used for copper and 6-311+G(d,p) basis set was used for all other atoms. The solvation energies were calculated with a self-consistent reaction field (SCRF) using the SMD implicit solvent model[14]. Frequency analysis was also performed at the same level of theory as geometry optimization to confirm whether optimized stationary points were either local minimum or transition state, as well as to evaluate zero-point vibrational energies and thermal corrections for enthalpies and free energies at 298.15 K.

To correct the Gibbs free energies under 1 atm to the standard state in solution (1 mol/L), a correction of $RT\ln(c_s/c_g)$ is added to energies of all species. c_s stands for the standard molar concentration in solution (1 mol/L), c_g stands for the standard molar concentration in gas phase (about 0.040876 mol/L), and R is the gas constant. For calculated intermediates at the standard state of 1 mol/L at 298.15 K, the correction value equaling to 1.89 kcal/mol was used.

The 3D diagrams of optimized structures shown in the main text and below here in supplementary information for computations were generated with CYLview software[15].

Computational study on the complexation of L*4Cu(I) with the deprotonated amide substrate



Computational study of complexation of LCu(I) and deprotonated amide substrate. Trivial hydrogen atoms are omitted for clarity in 3D diagrams. The complexation process of LCu(I) species **Int1** and deprotonated amide species **Int2** has an exergonic free energy change of 26.9 kcal/mol.

Table of Energies

Supplementary Fig. 17 Zero-point correction (***ZPE***), thermal correction to enthalpy (***TCH***), thermal correction to Gibbs free energy (***TCG***), energies (***E***), enthalpies (***H***), and Gibbs free energies (***G***) (in Hartree) of the structures calculated at B3LYP-D3(BJ)/6-311+G(d,p)-SDD-SMD(1,4-Dioxane)//B3LYP-D3(BJ)/6-31G(d)-LANL2DZ level of theory.

Structure	*ZPE*	*TCH*	*TCG*	*E*	*H*	*G*	Imaginary Frequency
Int1	0.541692	0.574744	0.477100	−2163.356067	−2162.781323	−2162.878967	
Int2	0.161531	0.173485	0.122866	−938.868764	−938.695279	−938.745898	
Int3	0.704427	0.750284	0.622882	−3102.287592	−3101.537308	−3101.664710	

Coordinates of Computed Species

Int1

Charge = 0, Multiplicity = 1

C	1.06297600	0.29645200	-1.27288000
H	1.31397700	-0.05341200	-2.28365500
C	1.43475800	1.77188100	-1.20238700
C	1.09158800	2.58641600	-0.07659600
C	2.18386700	2.35139300	-2.20408400
C	0.27083400	2.13736600	0.99387500
C	1.60666400	3.92075100	-0.04368900
C	2.62158700	3.69073800	-2.08273000
H	2.44594200	1.78153900	-3.09121800
C	-0.01083500	2.96987400	2.05539300
H	-0.18300000	1.16330900	0.90978300
C	1.31062200	4.74142800	1.08113100
H	3.21556700	4.13605100	-2.88022700
C	0.52750900	4.28308500	2.10951000
H	1.72040300	5.74614900	1.08547500
H	0.28628800	4.90587300	2.96506900
N	2.36831900	4.45614300	-1.04056200
N	-0.33785000	0.06647700	-0.93641100
C	1.97896500	-0.46466900	-0.26632900
C	3.47074800	-0.44543000	-0.68185000
N	1.52193600	-1.87828000	-0.02082700
H	1.86080300	0.02670900	0.70312600
C	4.05518600	-1.85673600	-0.52532400
H	4.02198000	0.28770500	-0.08659100
H	3.57158100	-0.13204400	-1.72698700
C	2.27386000	-2.40561200	1.14753100
C	1.80510300	-2.74233000	-1.20602900
C	3.81877000	-2.38896700	0.91075800
H	5.12968900	-1.84723100	-0.73351400
C	3.32531800	-2.78271100	-1.51194300
H	1.91728100	-3.42184200	1.33671500
H	2.00595100	-1.79422700	2.01425300
H	1.41416500	-3.73578100	-0.97034600
H	1.21152000	-2.36599600	-2.03930800
H	3.71028400	-3.80526500	-1.42471000
H	3.51685700	-2.45267500	-2.53903000
H	4.19702400	-3.41795900	0.94930600

S	-1.30714600	-0.46472400	-2.08589300
O	-1.19730500	-1.94256500	-2.30543100
O	-1.33347700	0.34565300	-3.32083900
Cu	-0.47405700	-1.71076600	0.24211300
C	4.53461500	-1.58914500	1.96233500
C	5.52222700	-2.05336500	2.72816900
H	4.20098400	-0.55881900	2.09352300
H	6.01011900	-1.43190500	3.47371700
H	5.88197400	-3.07624200	2.63496300
C	-2.88564500	-0.20835200	-1.22877600
C	-3.24149600	-0.79853200	0.02570300
C	-3.78538600	0.59719300	-1.89303800
C	-4.54200700	-0.50396100	0.55753800
C	-5.06472700	0.87382100	-1.36326500
H	-3.47478500	1.02272800	-2.84104400
C	-2.78094200	-2.13834200	1.88826400
C	-4.90885000	-1.06229900	1.80520000
H	-5.74499400	1.51566200	-1.91411300
C	-4.03309300	-1.88202000	2.47496800
H	-5.88926400	-0.83410400	2.21451900
H	-4.28347100	-2.33312900	3.42878500
C	-5.43590800	0.33484200	-0.15710500
H	-6.41311300	0.53706800	0.27229300
N	-2.38481000	-1.61987800	0.72941400
O	-0.81754900	2.62292700	3.10212300
C	-1.44704600	1.35405100	3.03884100
H	-0.71072000	0.53818100	3.02702000
H	-2.06168600	1.27607600	3.93754300
H	-2.08113100	1.26304800	2.14724100
H	-2.07032100	-2.79193000	2.38311200

Int2

Charge = -1, Multiplicity = 1

C	0.96445900	0.28151700	0.16367700
O	0.95337100	1.50431000	-0.10905300
N	-0.00899100	-0.62463300	0.28922400
C	2.33594600	-0.34918600	0.49356600
H	2.20231700	-1.37784200	0.82263300
C	3.12565700	0.49750800	1.47307100
H	2.58362700	0.52231900	2.42801500
H	4.13180000	0.10160300	1.64762600
H	3.18247900	1.51873300	1.08816400
C	-1.33564100	-0.27993500	0.11236400
C	-2.28218500	-1.31943500	0.30972700
C	-1.86047000	0.99351800	-0.24401400
C	-3.64920400	-1.11446400	0.16687600
H	-1.88845800	-2.29612300	0.58038100
C	-3.23365700	1.18691000	-0.38486900
H	-1.15817200	1.80110800	-0.40035300
C	-4.14613100	0.14683500	-0.18344800
H	-4.33685600	-1.94443700	0.32889800
H	-3.60030300	2.17631000	-0.65963600
H	-5.21573300	0.31269300	-0.29709100

Cl	3.35427200	-0.51388400	-1.06661600

Int3

Charge = -1, Multiplicity = 1

C	-0.46104600	1.75233900	-0.58690800
H	-0.18419900	2.49161200	-1.35018700
C	-1.89417000	2.08942500	-0.19135400
C	-2.67392800	1.31294500	0.72483200
C	-2.51141500	3.16811700	-0.79132400
C	-2.18180200	0.15977900	1.39418000
C	-4.02747800	1.72403500	0.95402600
C	-3.85508200	3.48289800	-0.49662700
H	-1.97058500	3.75476200	-1.52724900
C	-2.98533200	-0.52854900	2.28185500
H	-1.19794800	-0.20330000	1.13915400
C	-4.83104900	0.97101700	1.85296700
H	-4.32773900	4.33493600	-0.98642000
C	-4.32665000	-0.12177400	2.50736700
H	-5.85479200	1.30070900	1.99930300
H	-4.92629300	-0.70227500	3.20169600
N	-4.60499500	2.79972800	0.34537000
N	-0.31681100	0.39949700	-1.12030300
C	0.51702000	1.93242600	0.59528400
C	0.56660900	3.39254900	1.12128200
N	1.87009300	1.46776000	0.23242200
H	0.19897200	1.27277100	1.40609600
C	2.04367200	3.77202400	1.33631500
H	-0.01448000	3.49282800	2.04386800
H	0.12027300	4.08308700	0.39462000
C	2.67772600	1.34528400	1.44898100
C	2.53462100	2.39338200	-0.69993500
C	2.76173900	2.71180600	2.21867700
H	2.11829800	4.75346100	1.81817100
C	2.73030900	3.79138800	-0.04171000
H	3.67142500	0.99515600	1.15498500
H	2.23732200	0.56294800	2.07531500
H	3.48767900	1.94150600	-0.98295900
H	1.93248800	2.45199100	-1.60974200
H	3.79647800	4.02398500	0.07337800
H	2.29155400	4.58260300	-0.66241000
H	3.81261100	3.01630200	2.31019800
S	-1.01656100	0.13109000	-2.55198200
O	-0.36582600	-1.01333100	-3.20977400
O	-1.17441600	1.38573800	-3.33116800
Cu	1.28286600	-0.63120400	-0.76804300
C	2.18298700	2.61537200	3.59998600
C	2.81453400	2.95952500	4.72349400
H	1.16957900	2.21650500	3.66732000
H	2.34763800	2.85847700	5.69989900
H	3.83099600	3.34884100	4.70679300
C	-2.70859900	-0.35903000	-2.13342000
C	-2.96456200	-1.47978700	-1.28732900
C	-3.73918300	0.46551800	-2.52806500

C	-4.30639300	-1.68787300	-0.82922500
C	-5.06218600	0.23989400	-2.08519300
H	-3.50117300	1.31734500	-3.15339700
C	-2.20861600	-3.30316700	-0.10713100
C	-4.53710200	-2.76939700	0.05588500
H	-5.84957000	0.92351300	-2.38819700
C	-3.49193300	-3.58169300	0.42261300
H	-5.54150400	-2.93366800	0.43897600
H	-3.62906200	-4.41194700	1.10829600
C	-5.33998600	-0.80851800	-1.24085900
H	-6.34650800	-0.97098700	-0.86338400
N	-1.94226500	-2.29846200	-0.91417000
O	-2.59325400	-1.62219800	2.99277800
C	-1.21182700	-1.95903500	2.94345600
H	-0.58888900	-1.11965200	3.27138700
H	-1.07607800	-2.80210200	3.62161800
H	-0.90531300	-2.25003900	1.93539000
H	-1.36483300	-3.93984400	0.15926400
C	3.19813900	-2.63956500	0.26287100
O	4.27864900	-3.20179100	0.49447800
N	2.93618200	-1.62949000	-0.58934700
C	1.85892600	-4.65123700	1.03383200
H	2.79839500	-5.05709000	1.41601700
H	1.02152600	-4.99543400	1.64904300
H	1.71712900	-5.01433000	0.00786100
C	3.98226100	-0.98457900	-1.27331500
C	3.69377200	-0.41943600	-2.53243600
C	5.26514000	-0.77266900	-0.72820800
C	4.63581500	0.35476000	-3.20525400
H	2.70806800	-0.58678200	-2.96066600
C	6.19840900	0.00992500	-1.40711300
H	5.50975400	-1.22871400	0.22205300
C	5.89537800	0.58466400	-2.64453700
H	4.37933200	0.78488400	-4.17075900
H	7.17722100	0.17321300	-0.95999800
H	6.62997400	1.19376300	-3.16562400
C	1.94135700	-3.14000500	0.99700000
H	1.03994600	-2.68130500	0.58635300
Cl	2.02982600	-2.49808300	2.73769400

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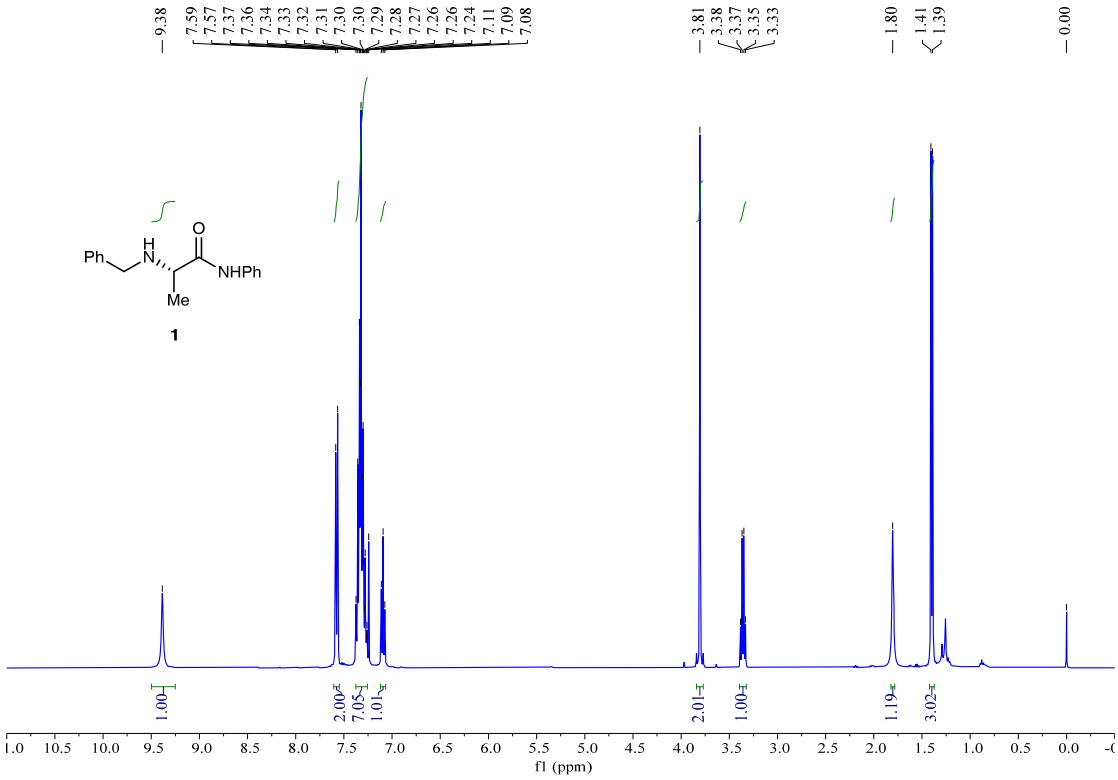
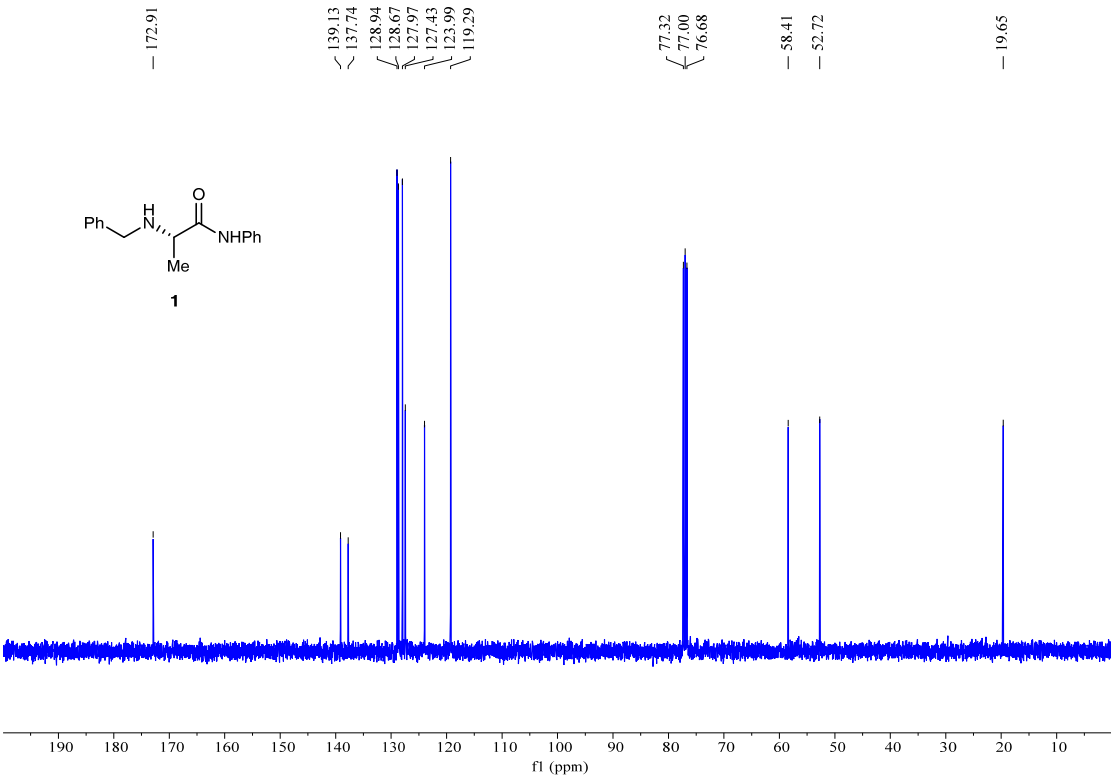
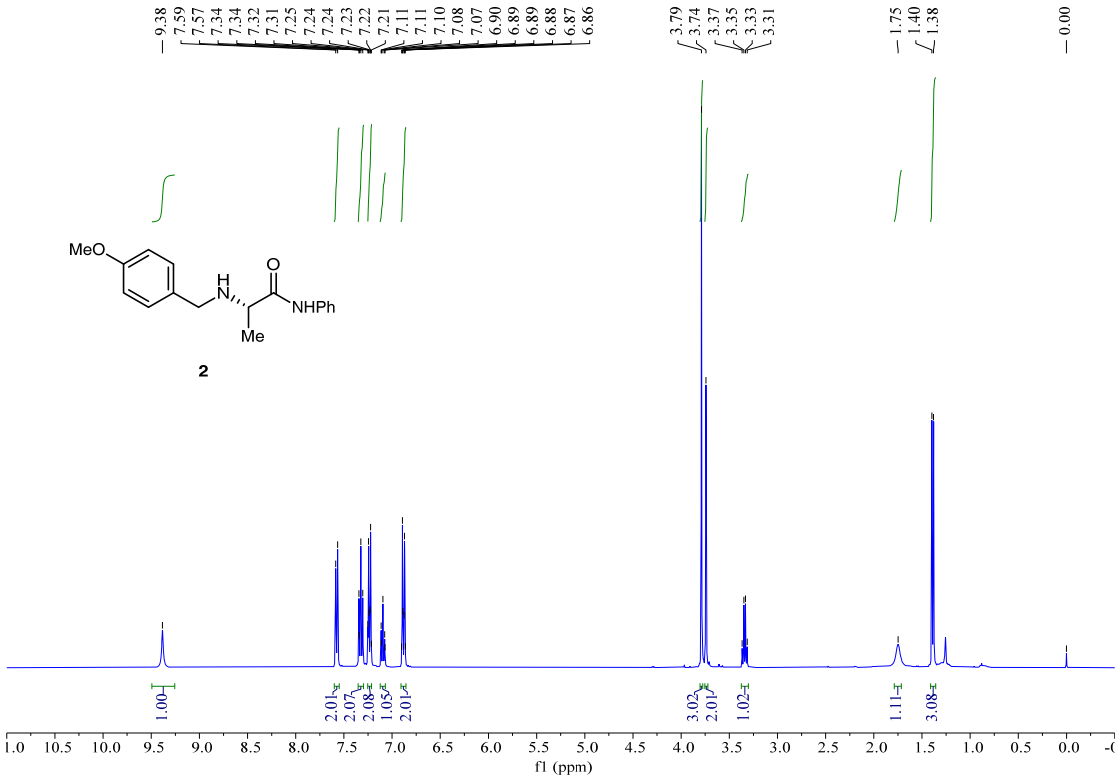
10. NMR spectra



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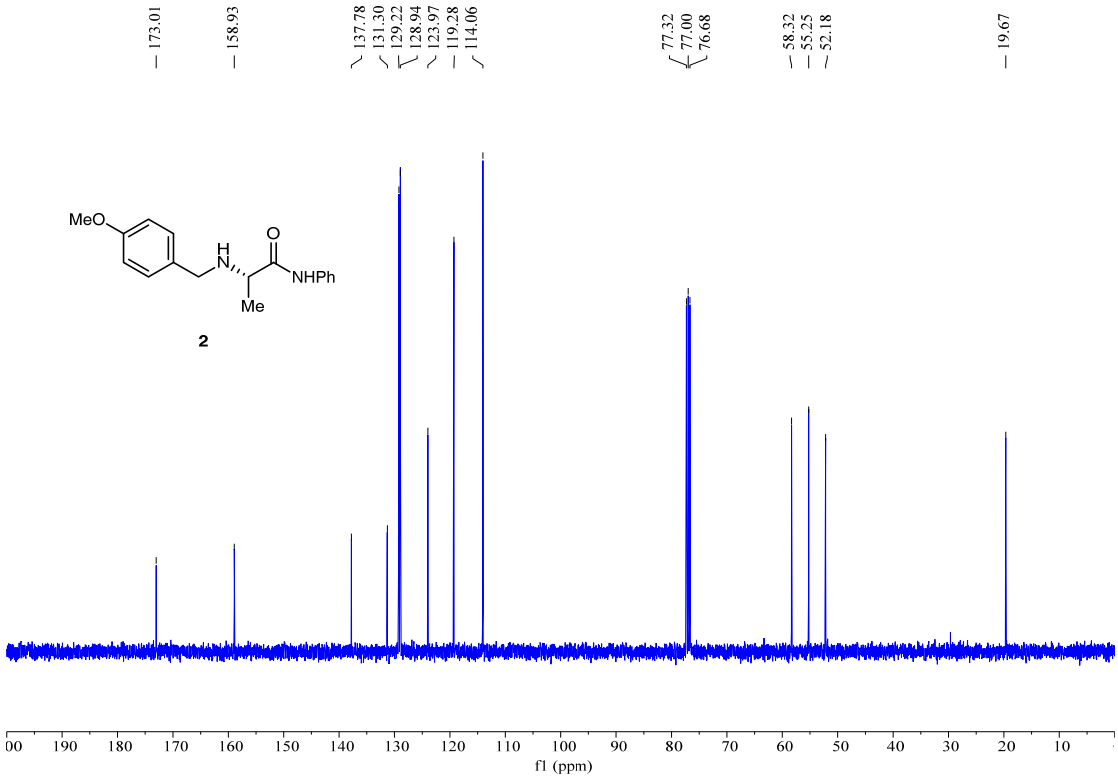
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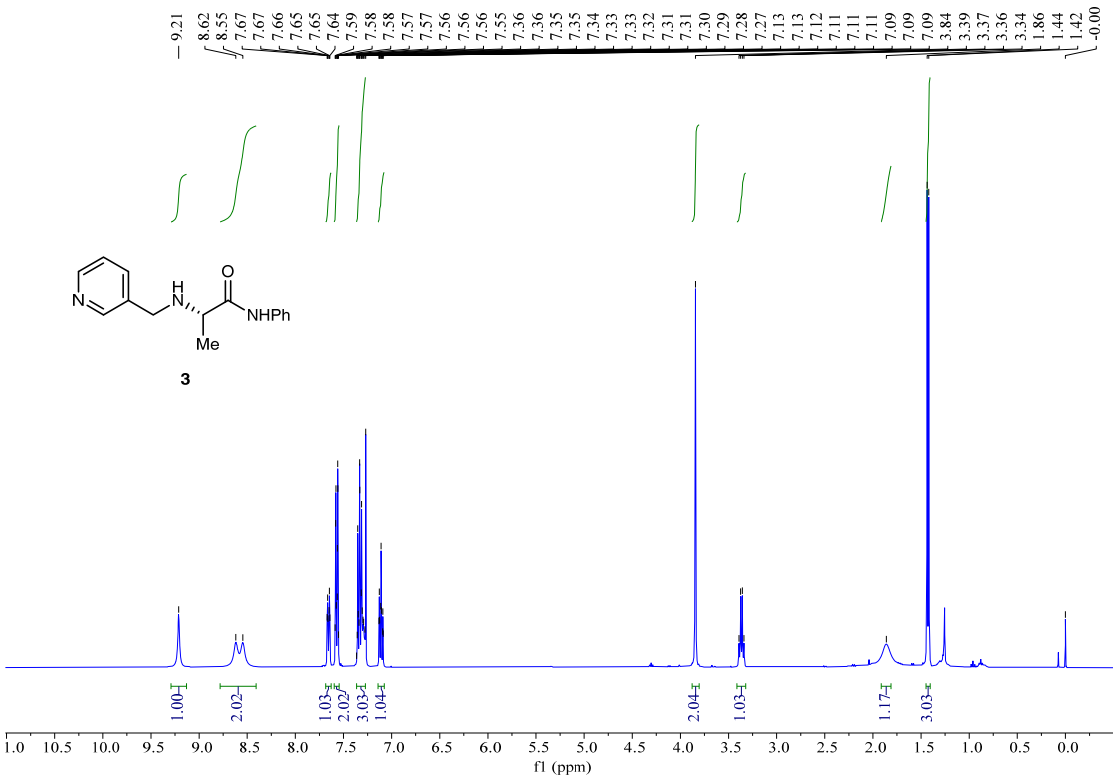
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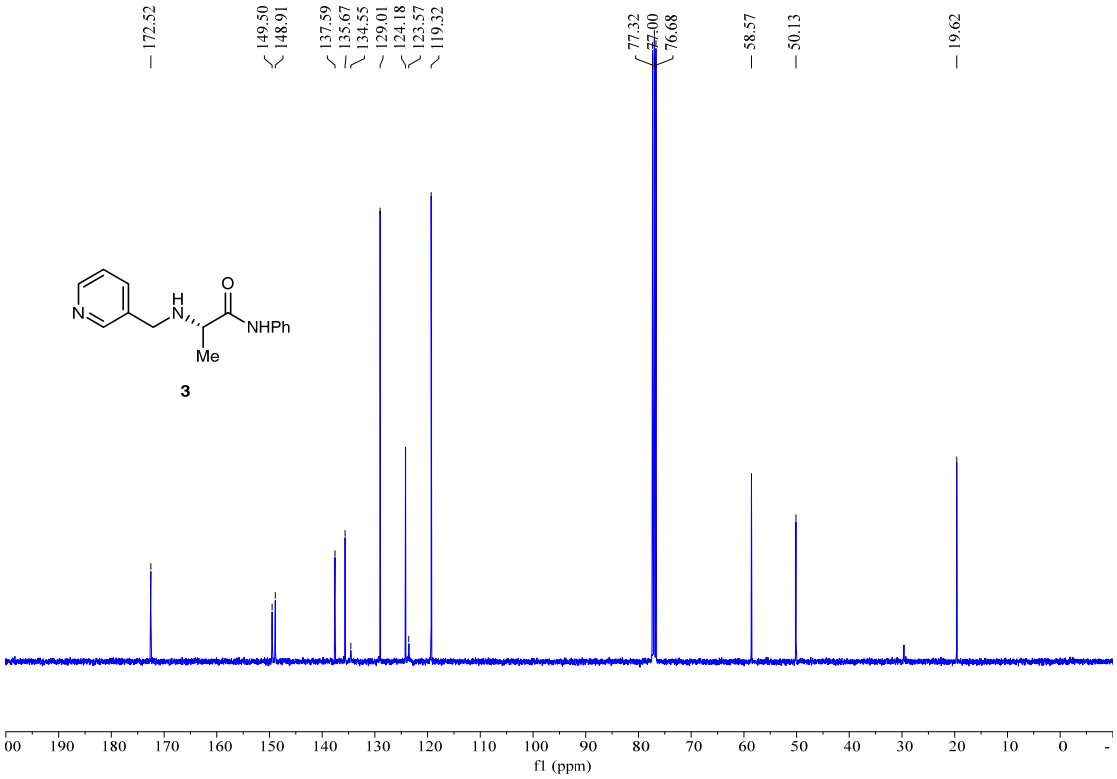
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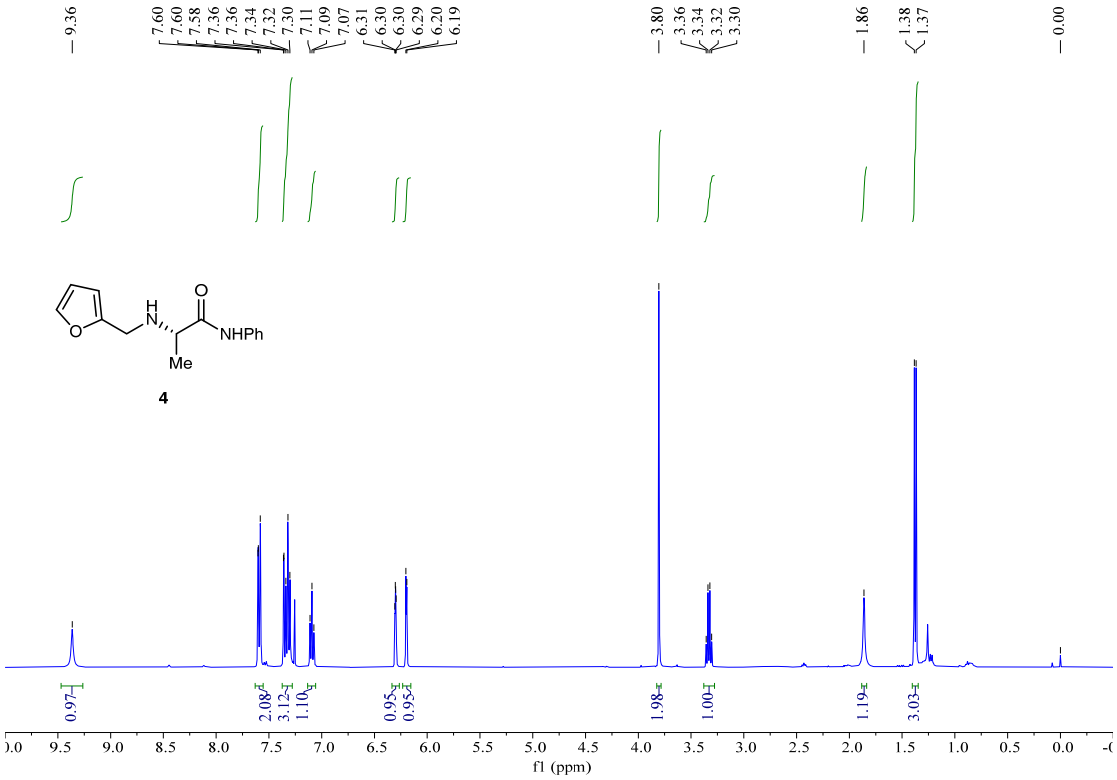
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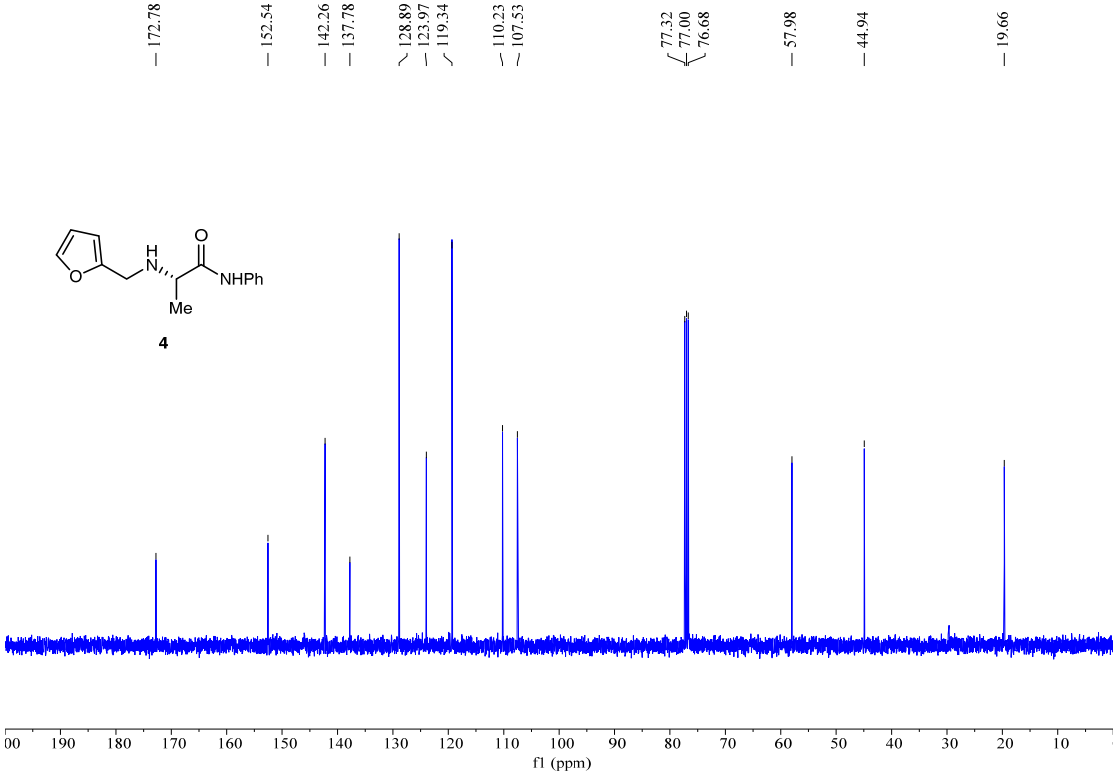
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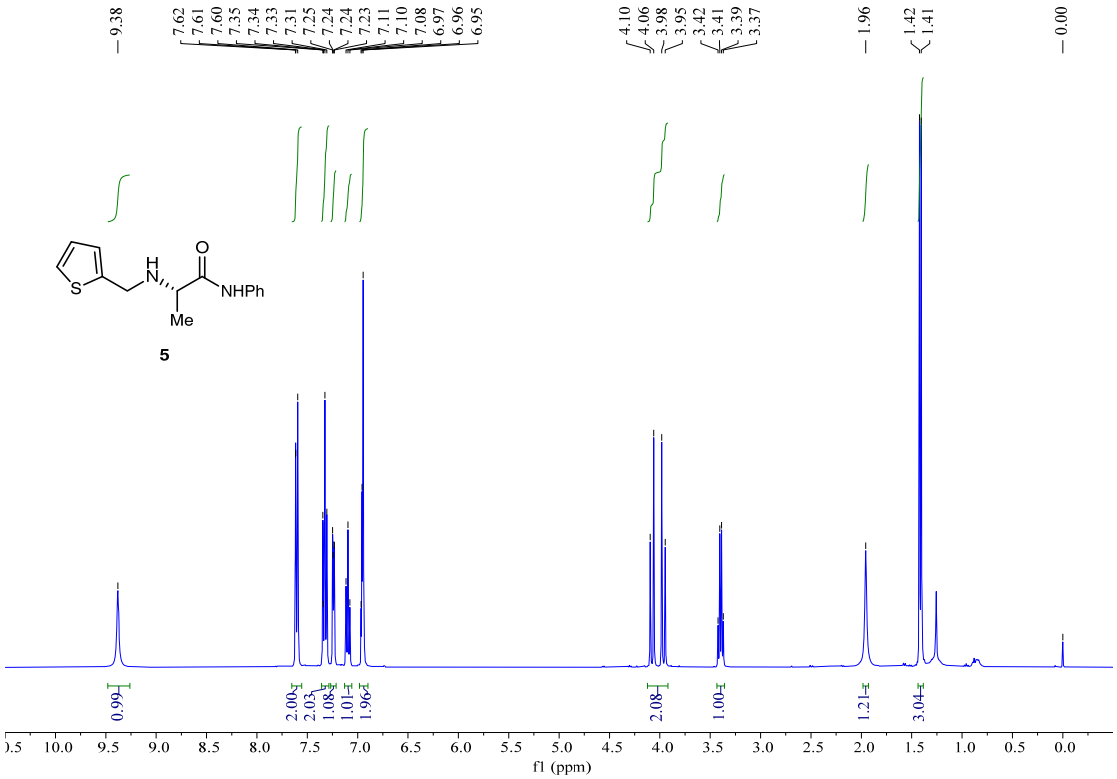
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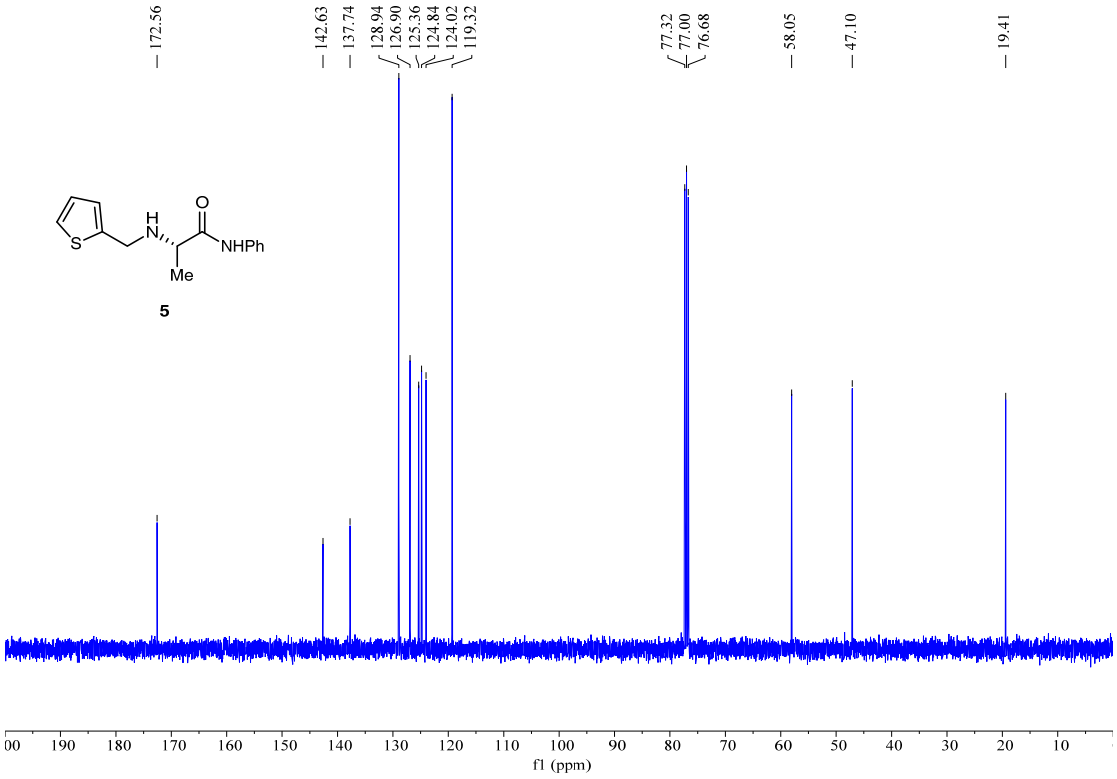
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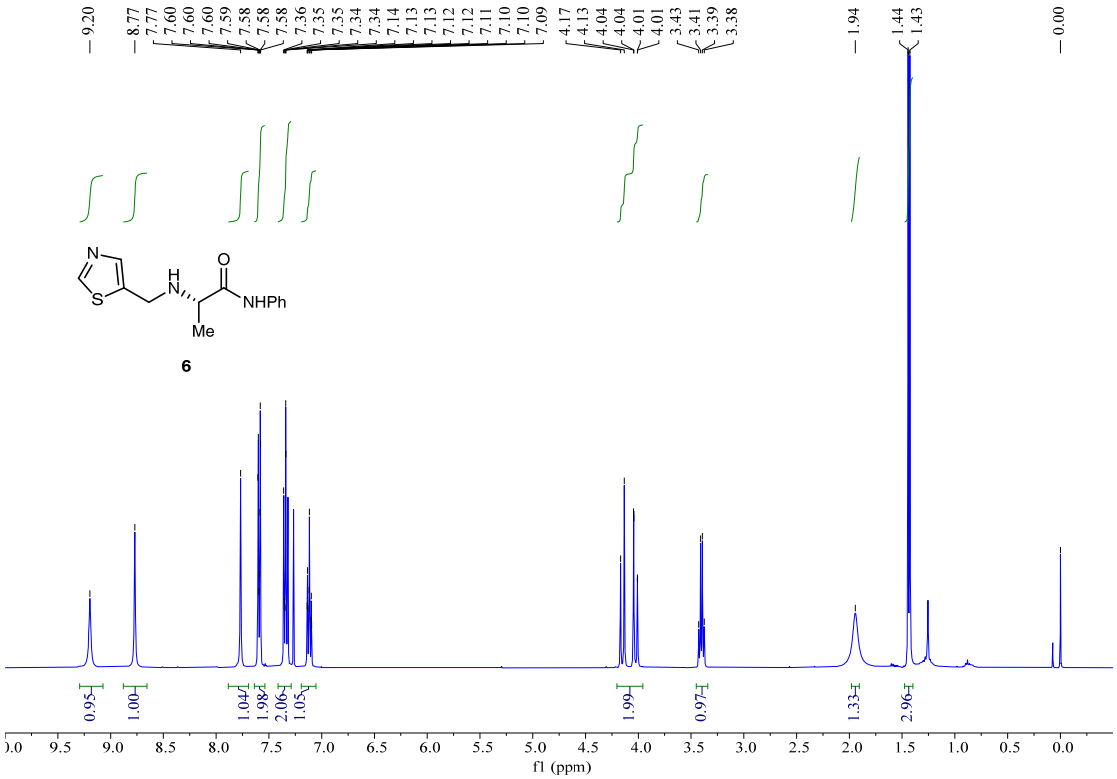
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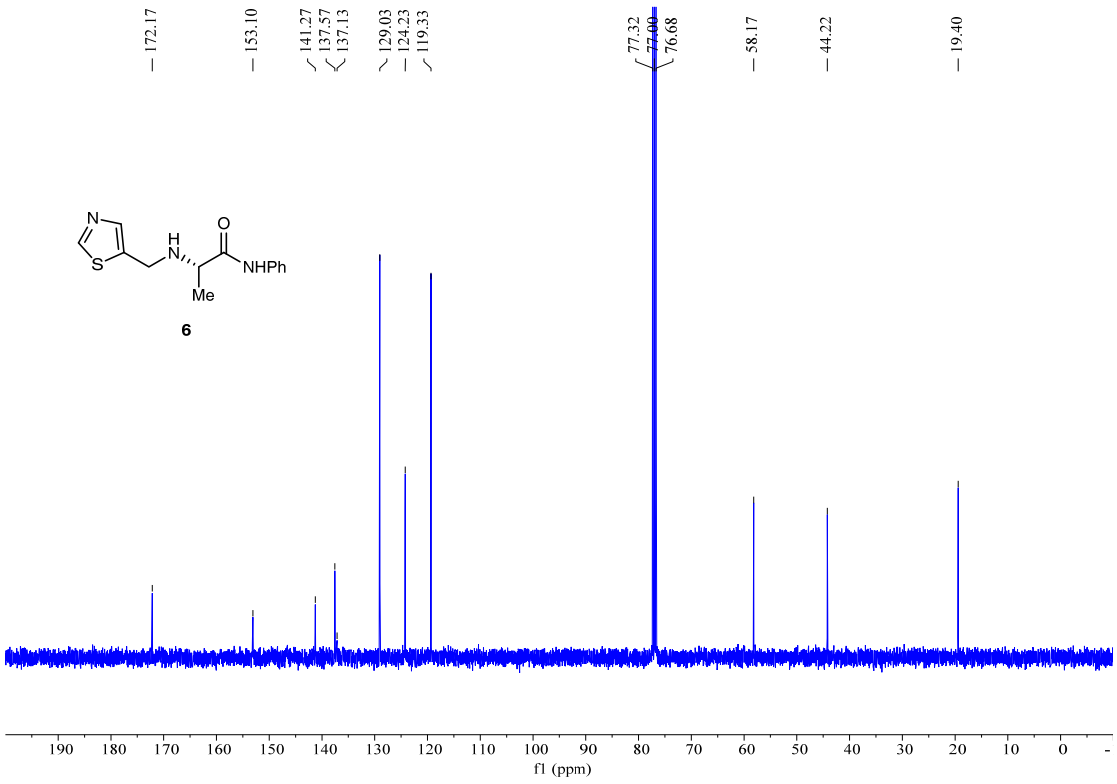
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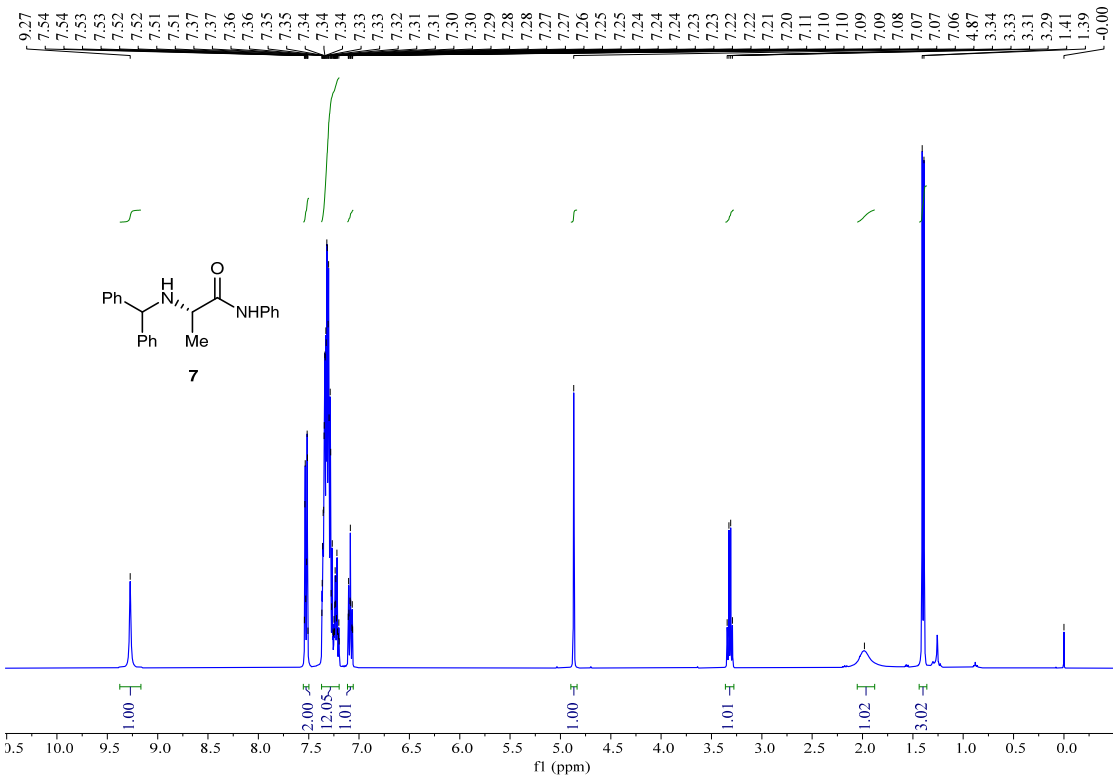
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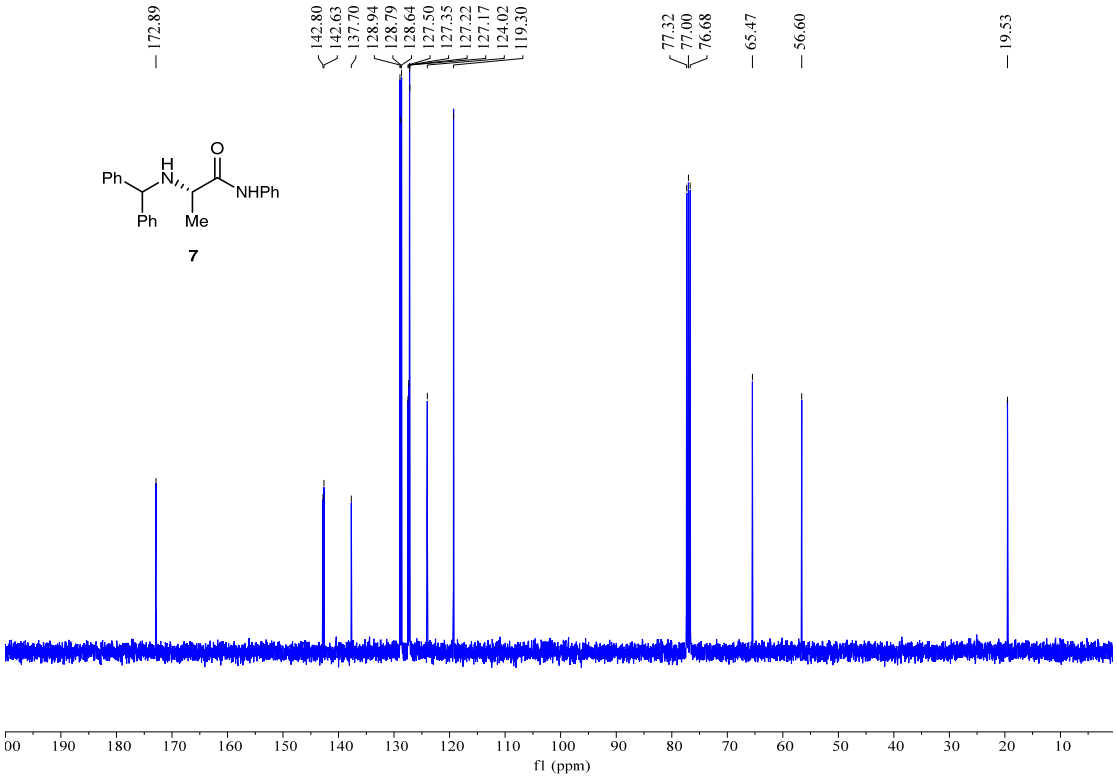
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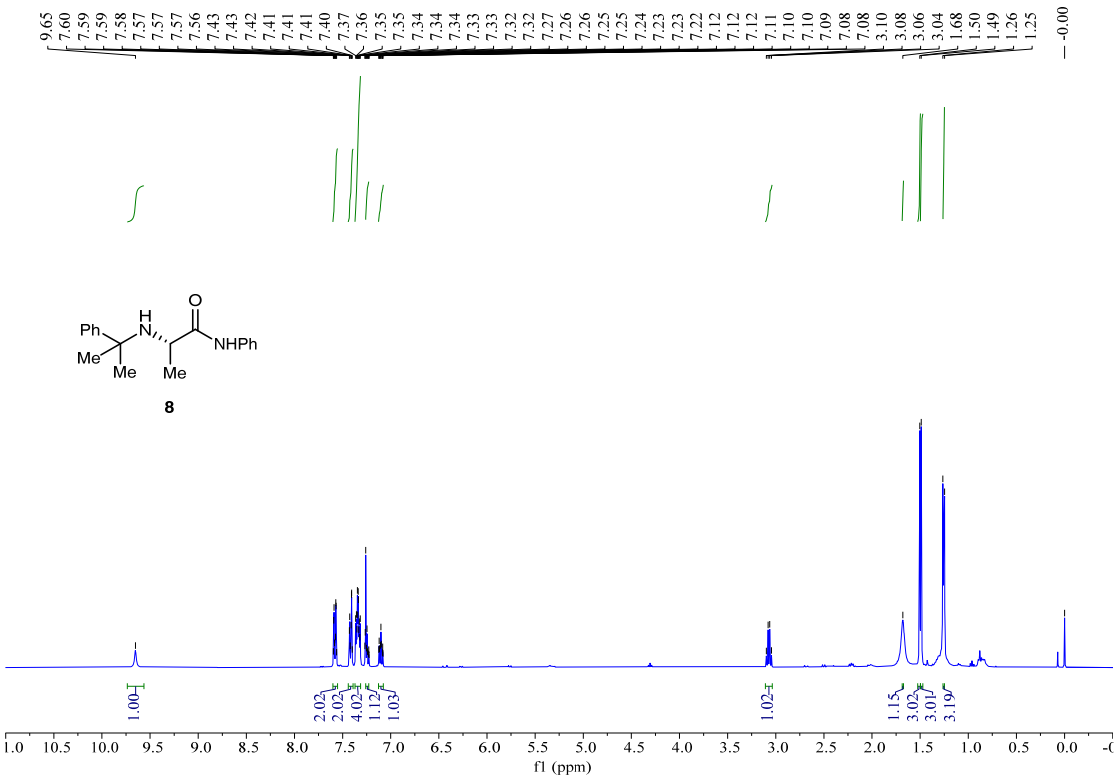
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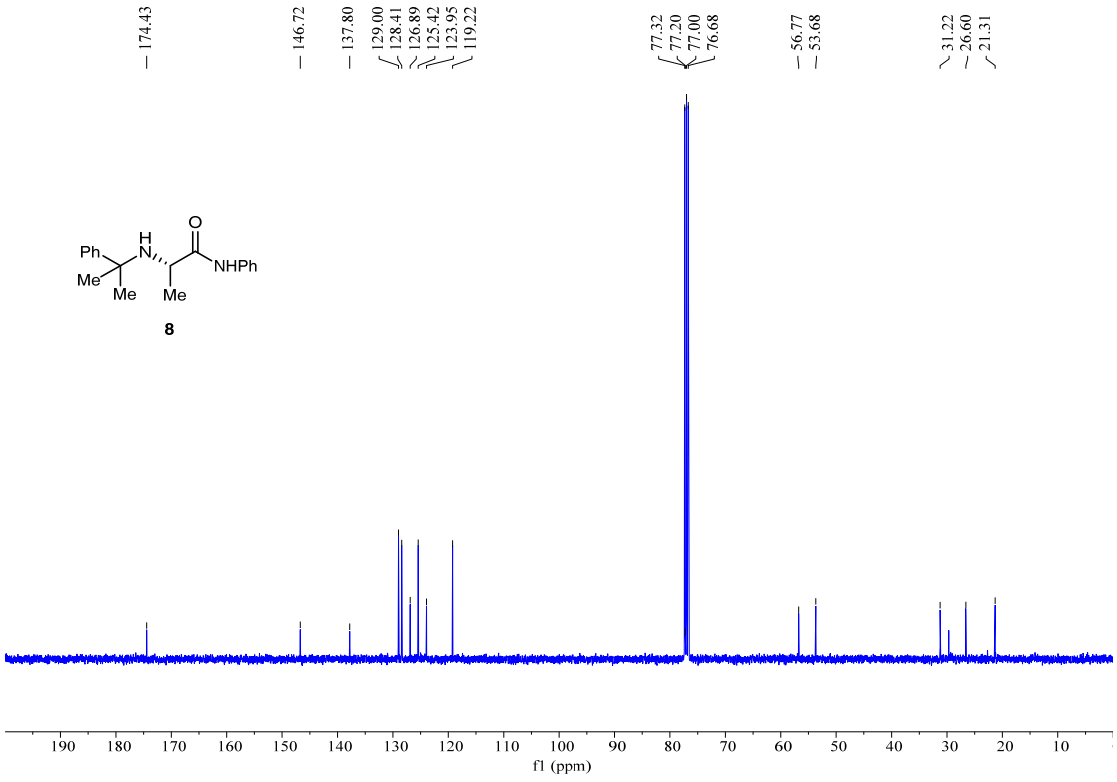
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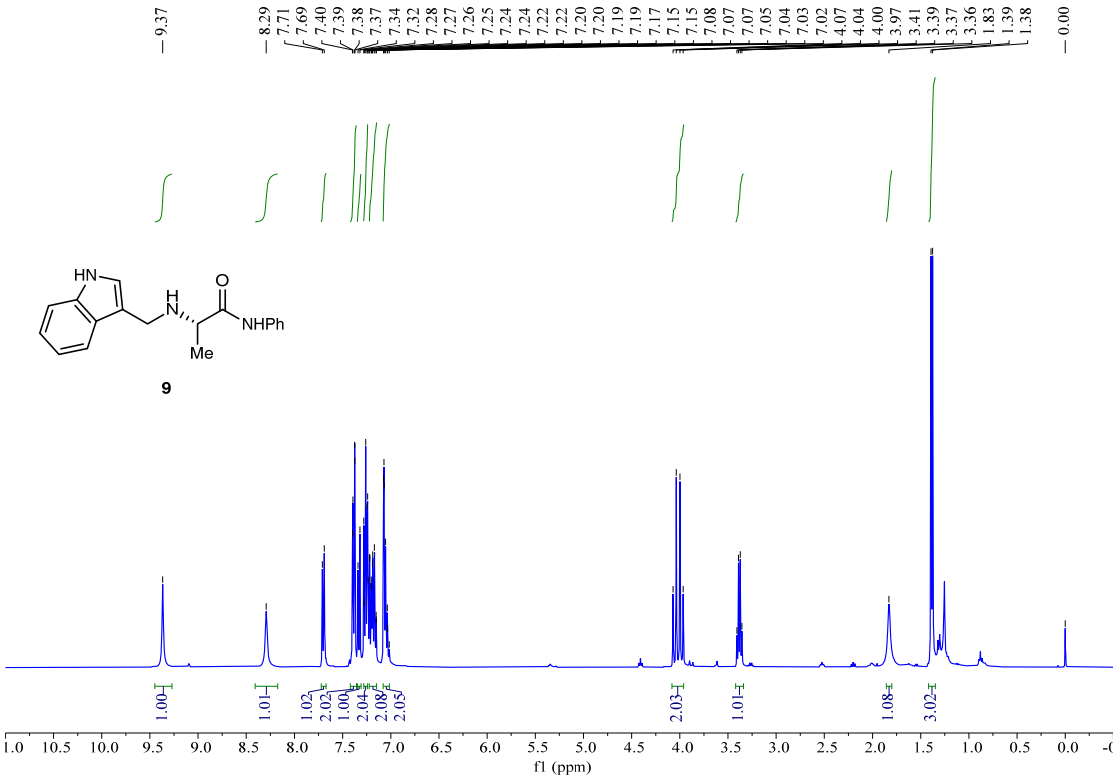
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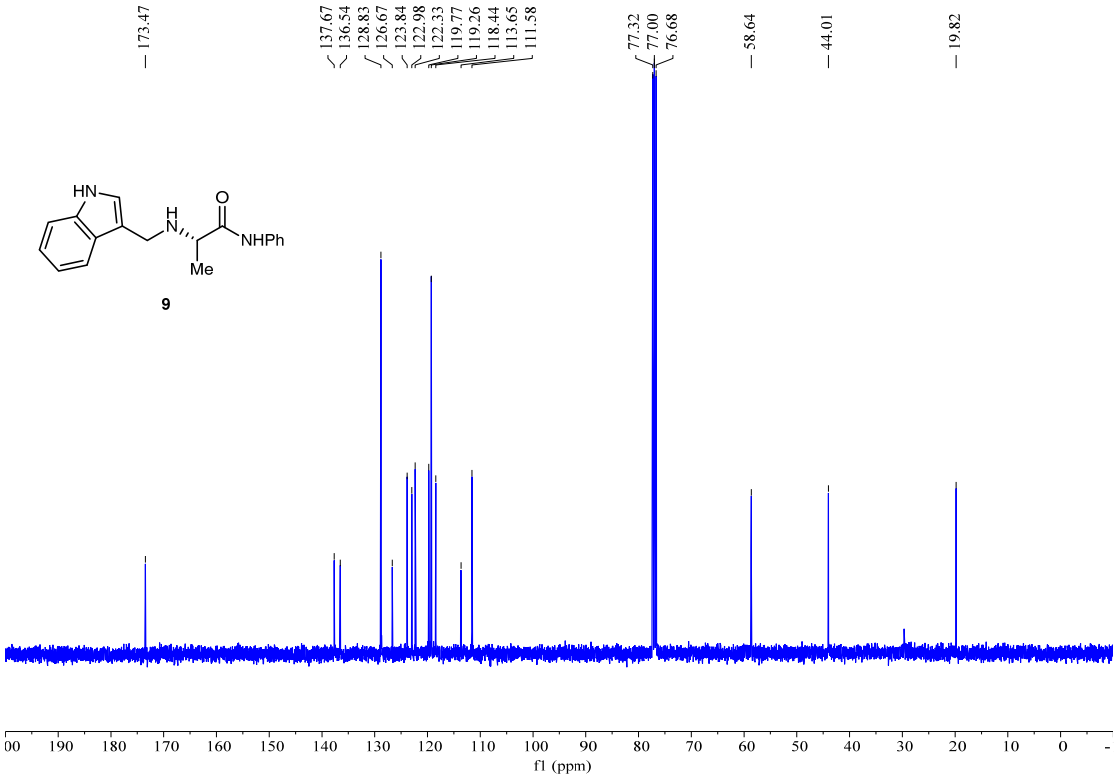
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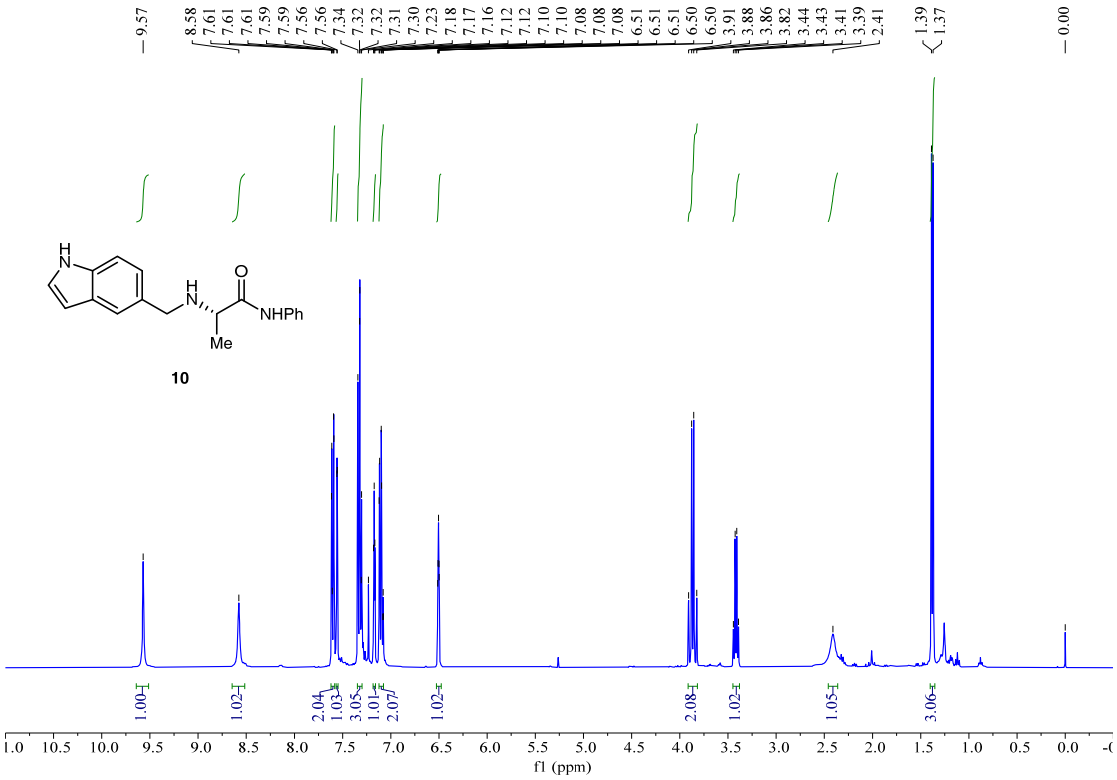
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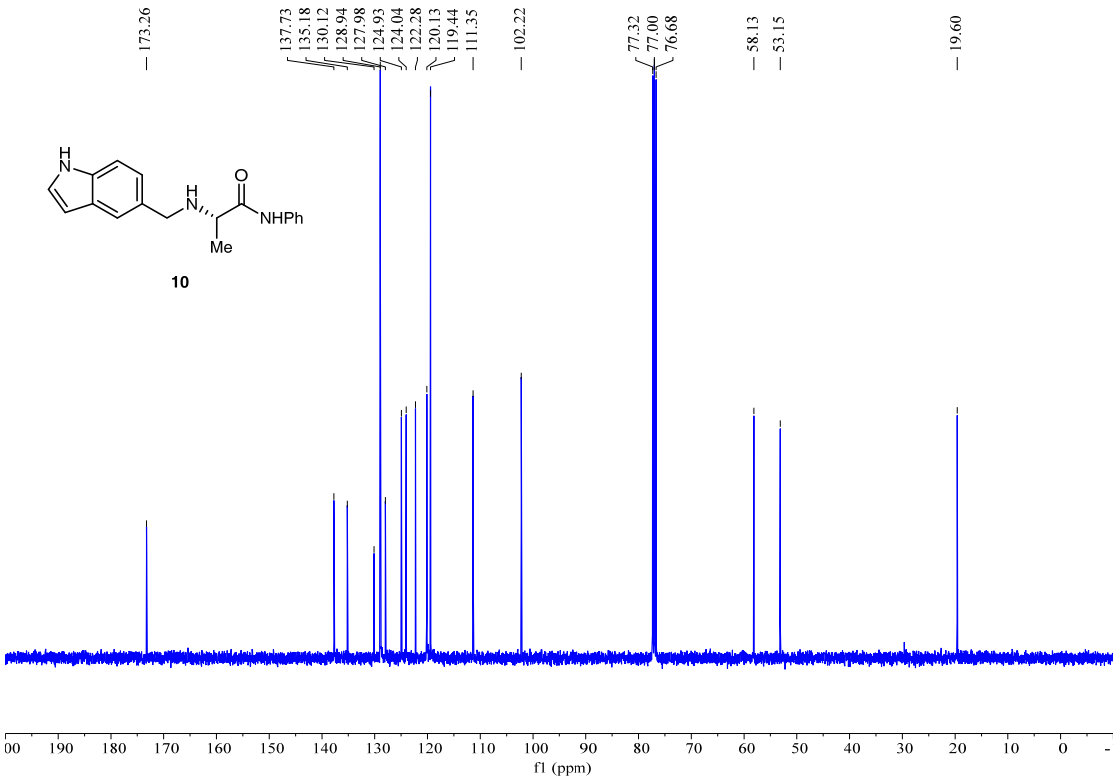
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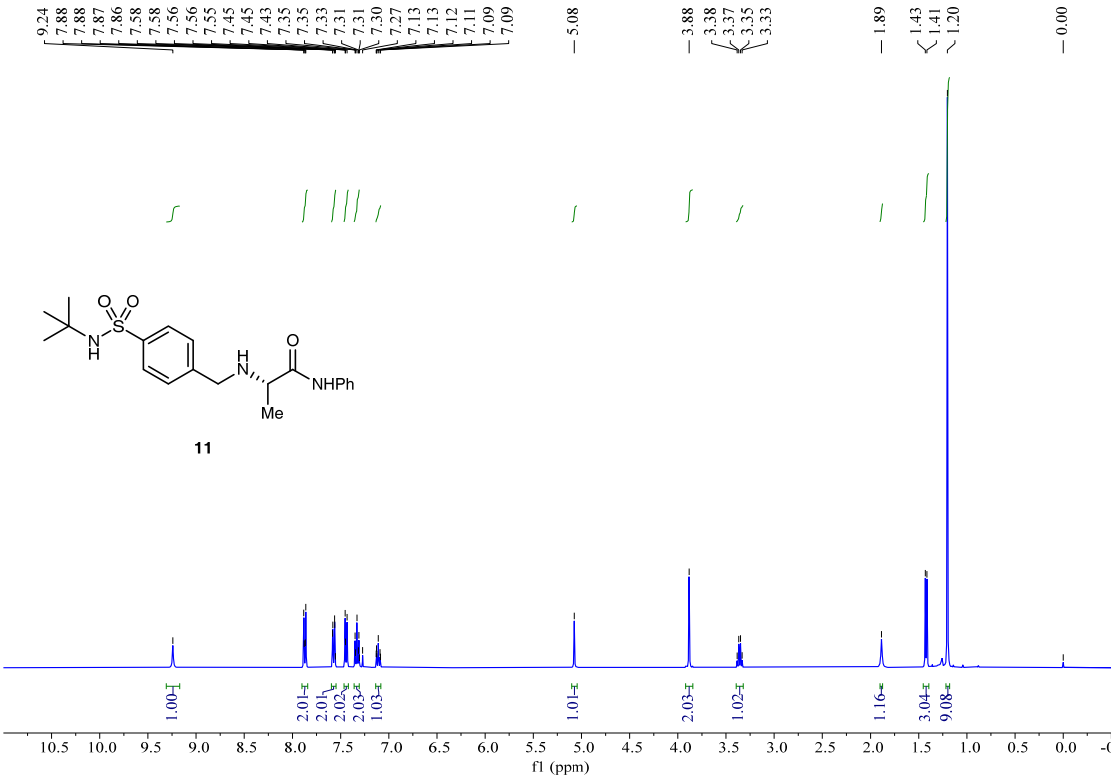
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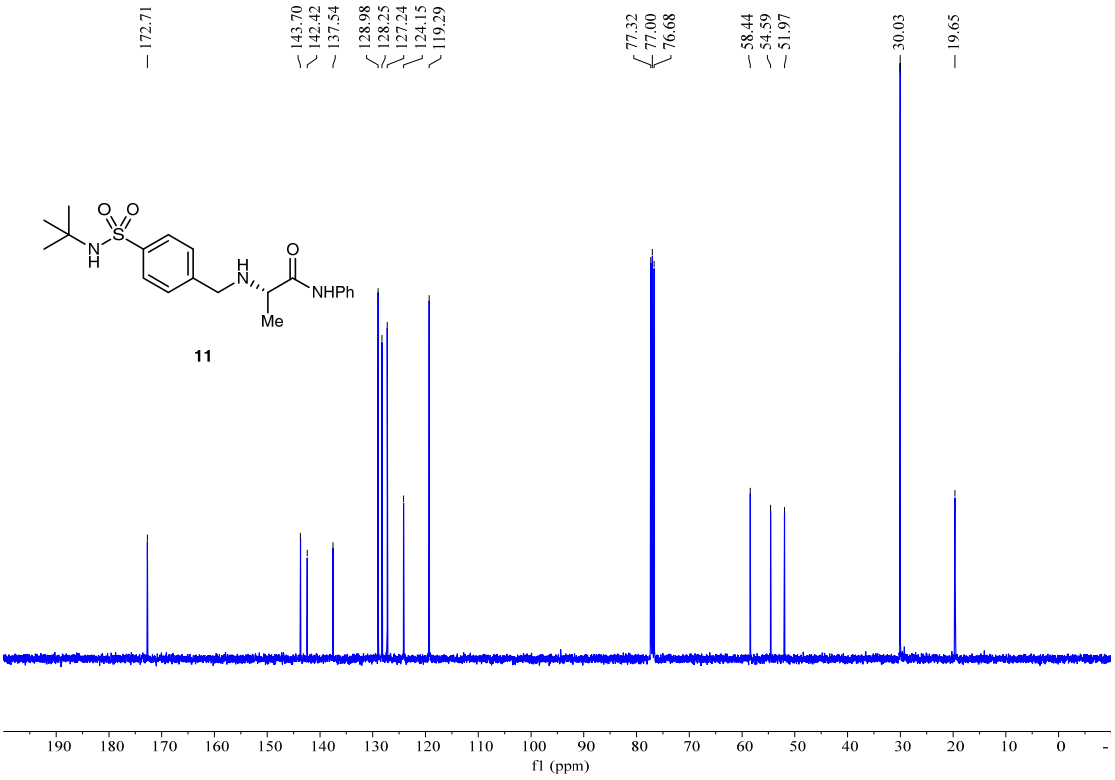
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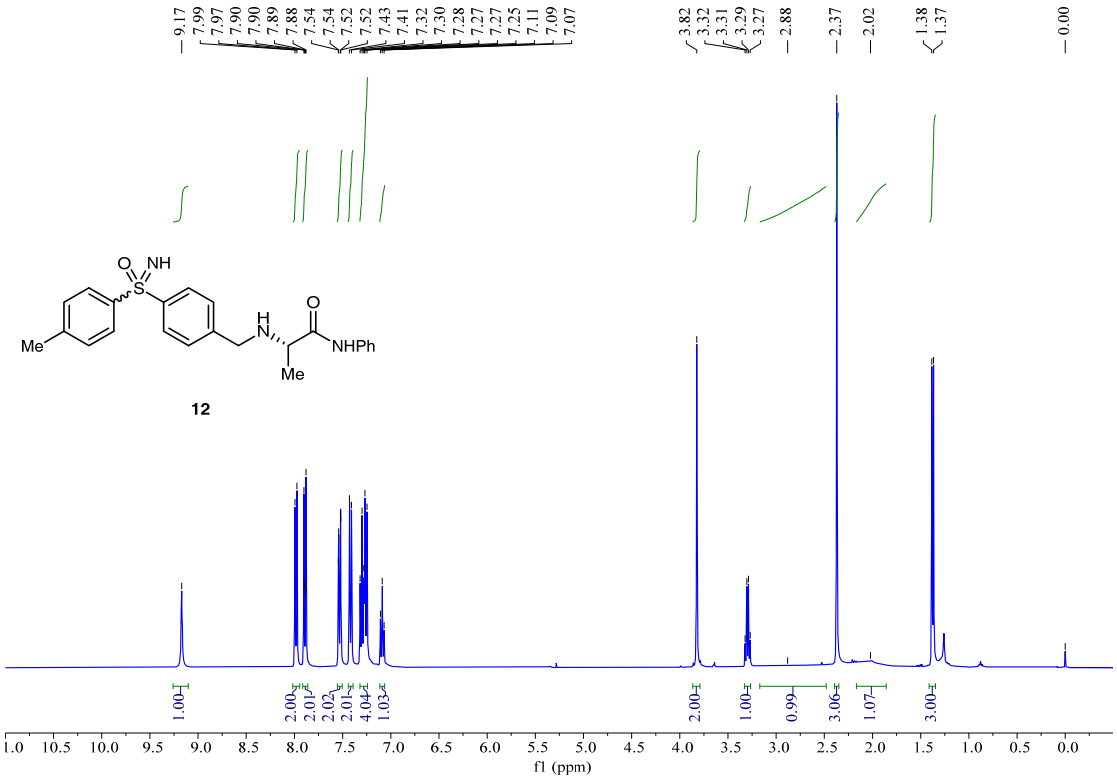
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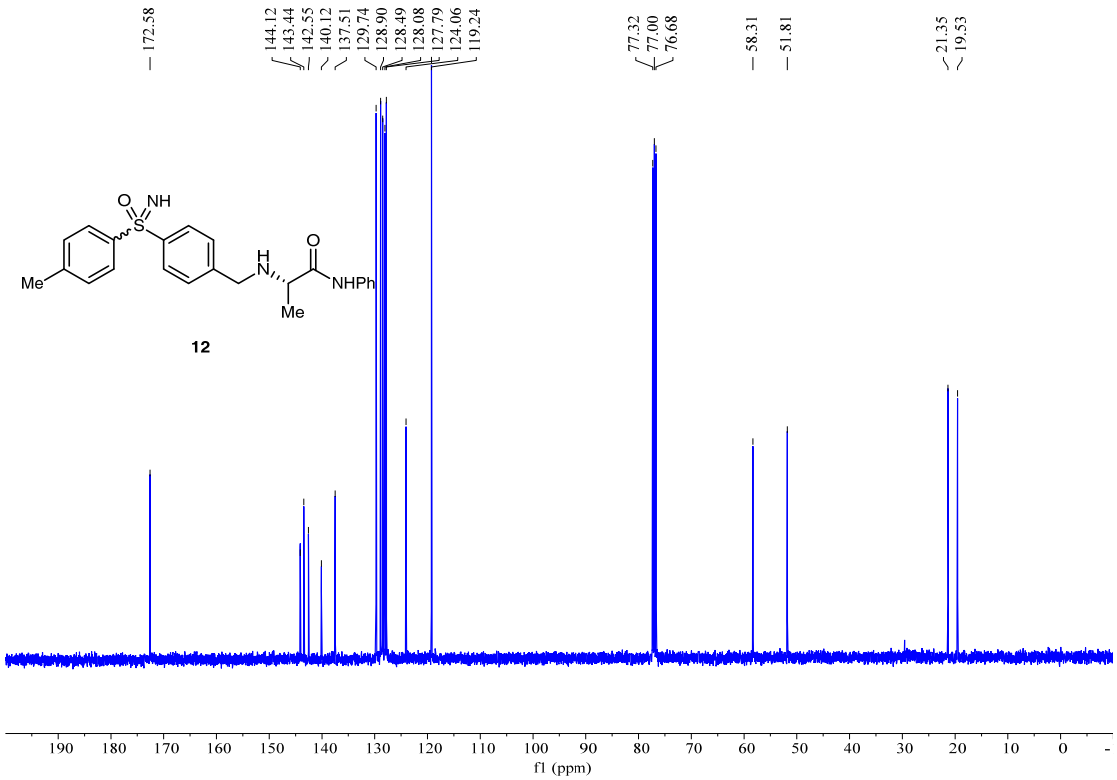
N
O
NHPh
Me
12
1.00
2.00
2.01
2.02
2.01
4.04
1.03
2.00
1.00
0.99
3.06
1.07
3.00
f1 (ppm)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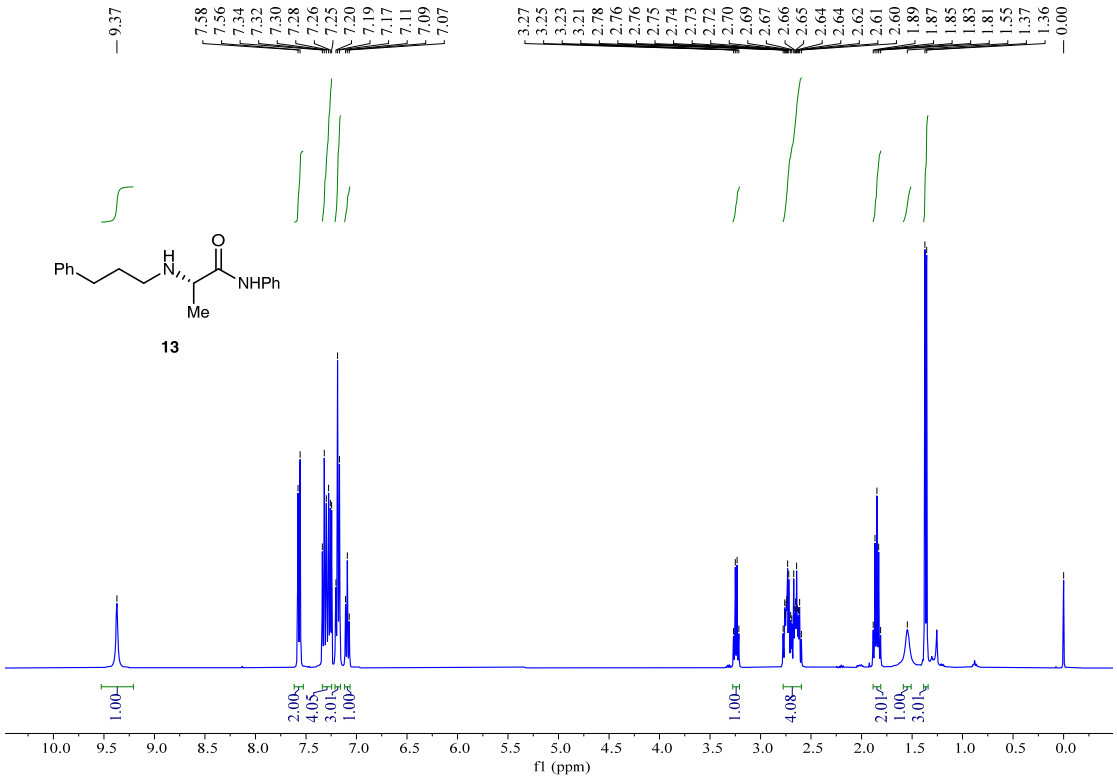
143.44
142.55
140.12
137.51
129.74
128.90
128.49
128.08
127.79
124.06
119.24
77.32
77.00
76.68
58.31
51.81
21.35
19.53
O
NH
S
Me
H
N
O
NHPh
Me
12
f1 (ppm)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7.58
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7.34
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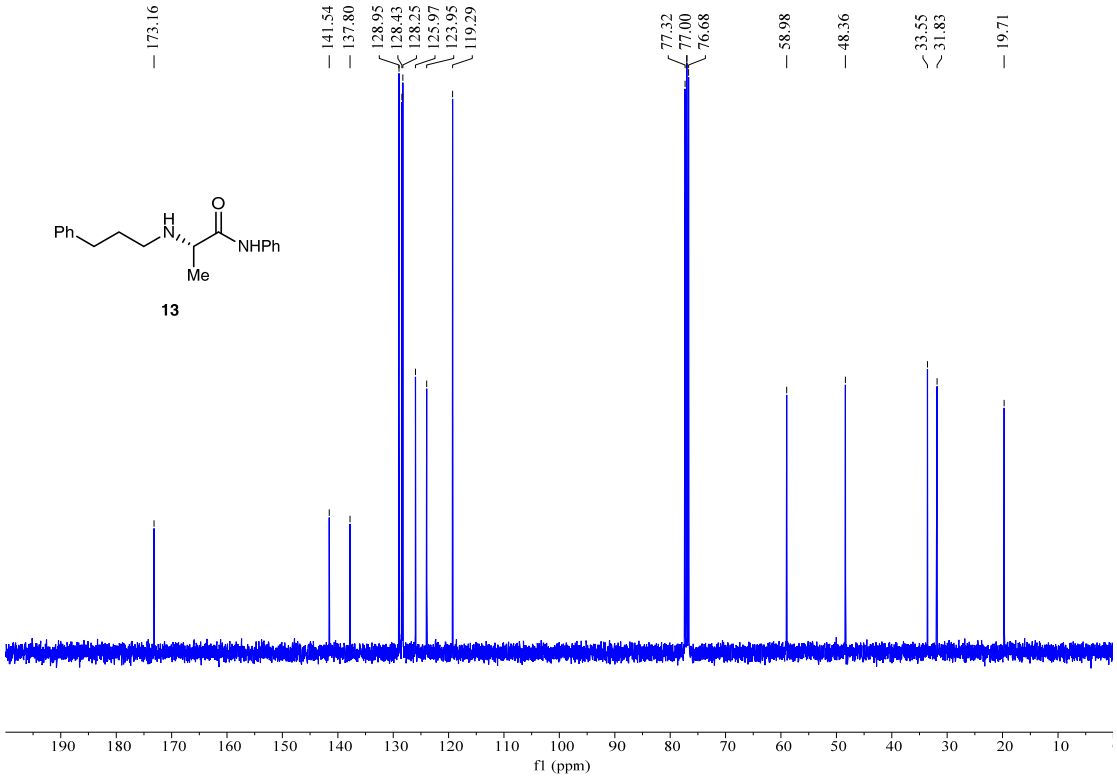
7.28
7.26
7.25
7.20
7.19
7.17
7.11
7.09
7.07
3.27
3.25
3.23
3.21
2.78
2.76
2.76
2.75
2.74
2.73
2.72
2.70
2.69
2.67
2.66
2.65
2.64
2.64
2.62
2.61
2.60
1.89
1.87
1.85
1.83
1.81
1.55
1.37
1.36
0.00
Ph
H
N
O
NHPh
Me
13
1.00
2.00
4.05
3.01
1.00
1.00
4.08
2.01
1.00
3.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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141.54
137.80
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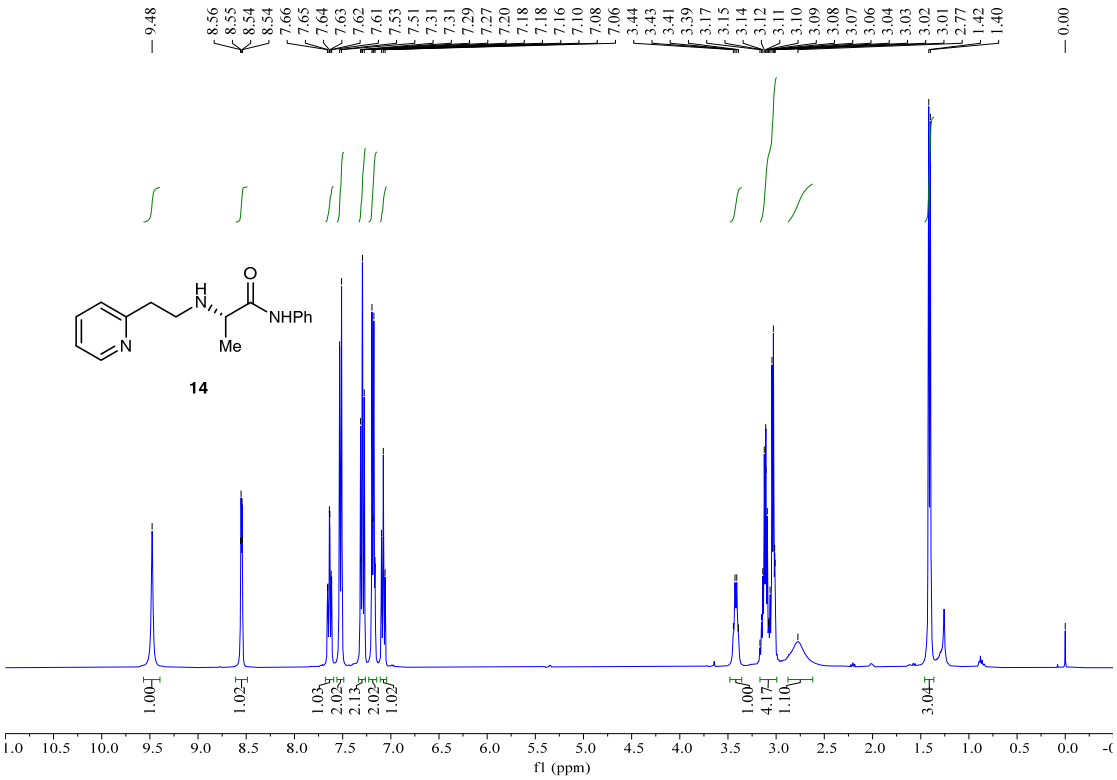
128.43
128.25
125.97
123.95
119.29
77.32
77.00
76.68
58.98
48.36
33.55
31.83
19.71
Ph
H
N
O
NHPh
Me
13
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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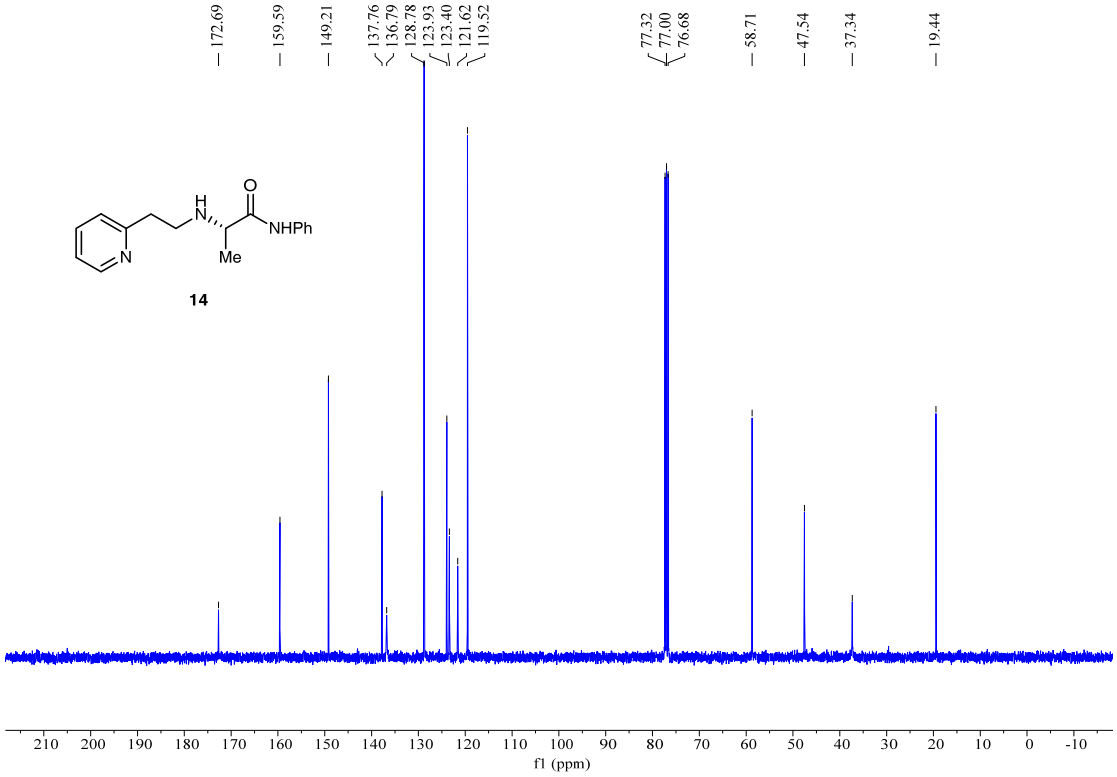
8.56
8.55
8.54
8.54
7.66
7.65
7.64
7.63
7.62
7.61
7.53
7.51
7.31
7.31
7.29
7.27
7.20
7.18
7.18
7.16
7.10
7.08
7.06
3.44
3.43
3.41
3.39
3.17
3.15
3.14
3.12
3.11
3.10
3.09
3.08
3.07
3.06
3.04
3.03
3.02
3.01
2.77
1.42
1.40
0.00
1.00
1.02
1.03
2.02
2.13
2.02
1.02
1.00
4.17
1.10
3.04
fl (ppm)

H
N
O
NHPh
Me
N

14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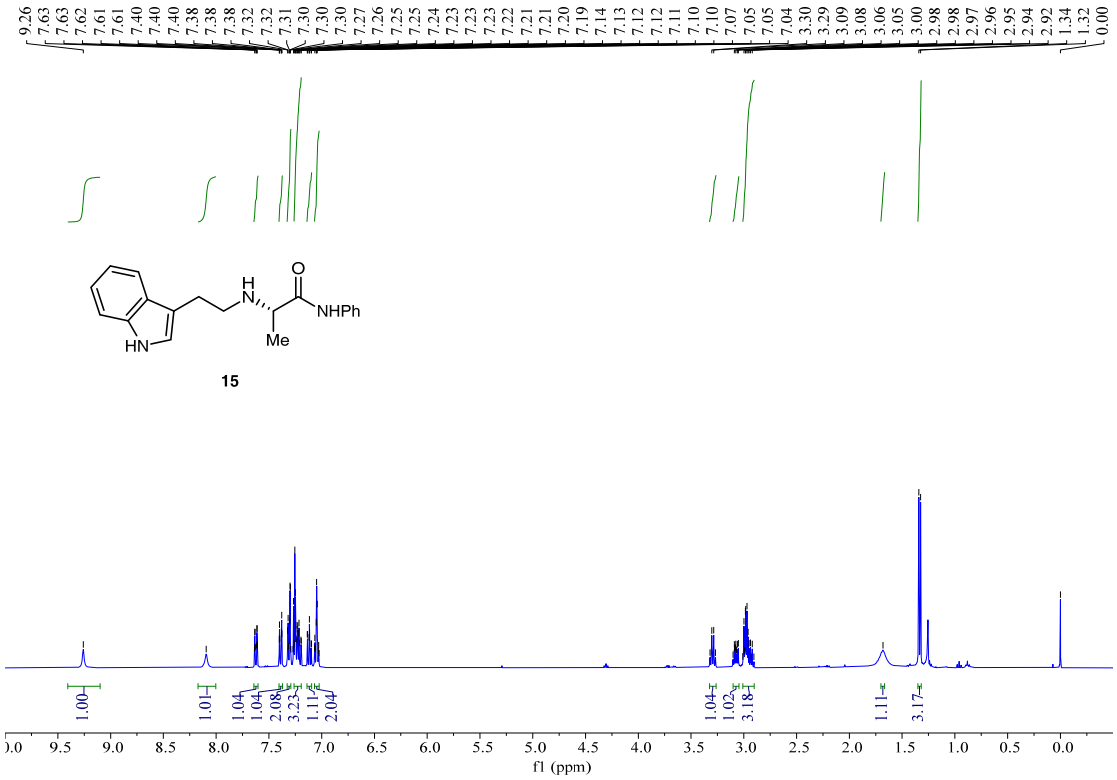
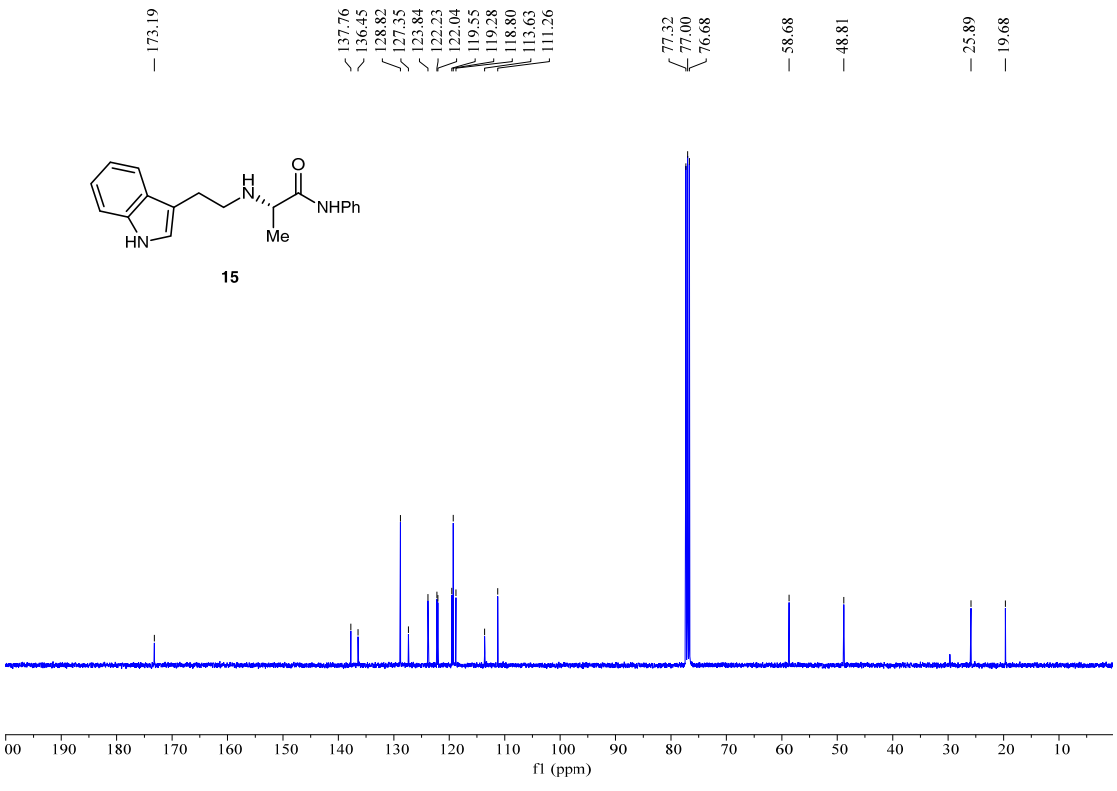
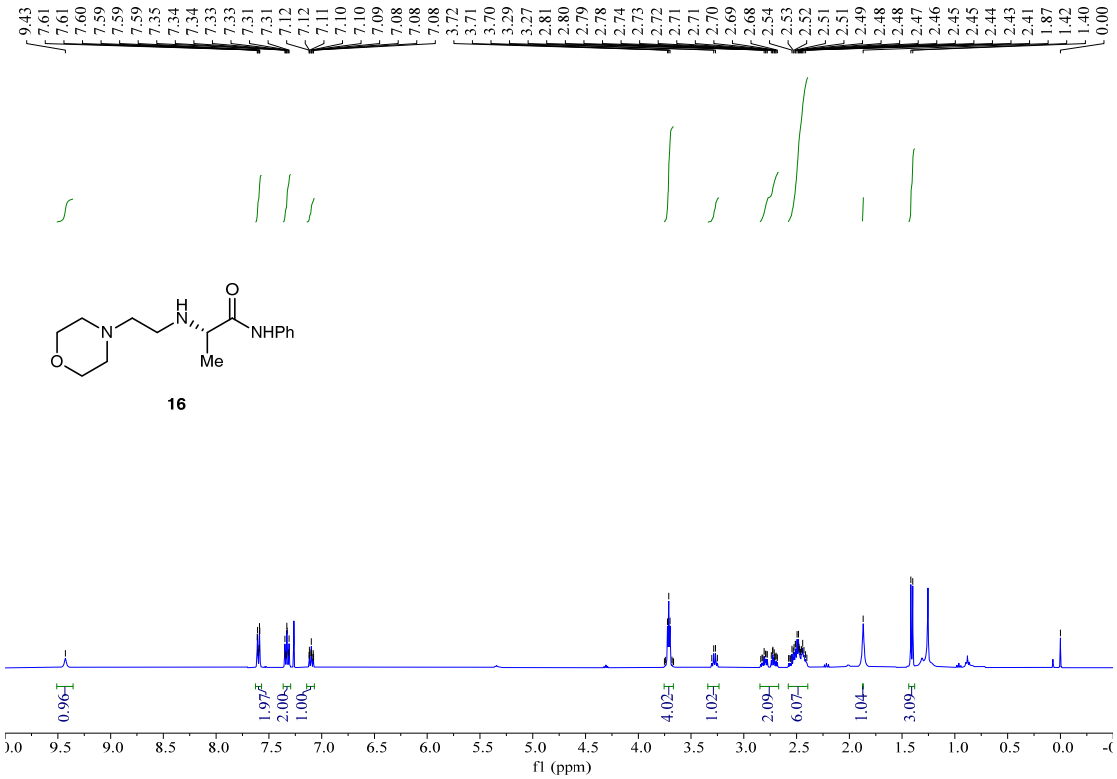
159.59
149.21
137.76
136.79
128.78
123.93
123.40
121.62
119.52
77.32
77.00
76.68
58.71
47.54
37.34
19.44
fl (ppm)

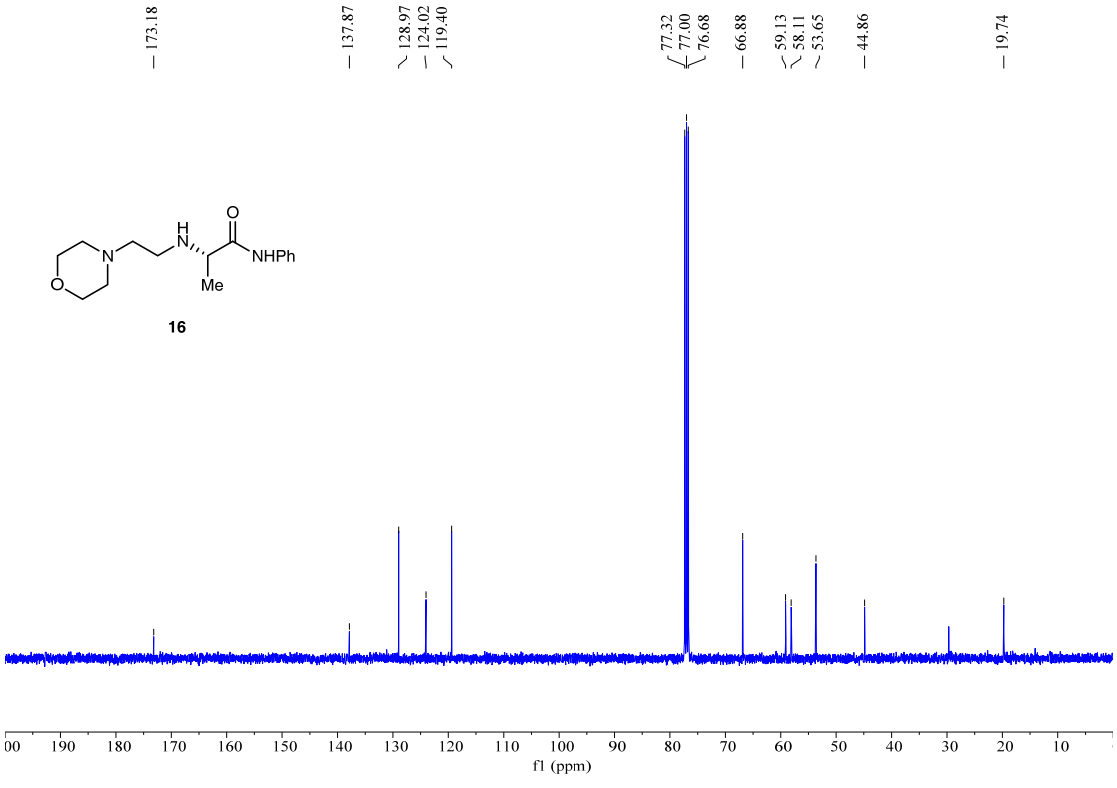
H
N
O
NHPh
Me
N

14


9.26 7.63 7.63 7.62 7.61 7.61 7.40 7.40 7.40 7.38 7.38 7.38 7.32 7.32 7.31 7.30 7.30 7.30 7.27 7.26 7.25 7.25 7.24 7.23 7.23 7.23 7.22 7.21 7.21 7.20 7.19 7.14 7.13 7.12 7.12 7.11 7.10 7.10 7.07 7.05 7.05 7.04 3.30 3.29 3.09 3.08 3.06 3.05 3.00 2.98 2.98 2.97 2.96 2.95 2.94 2.92 1.34 1.32 0.00
H
N
O
NHPh
Me
HN
15
1.00 1.01 1.04 1.04 2.08 3.23 1.11 2.04 1.04 1.02 3.18 1.11 3.17
f1 (ppm)


173.19
137.76 136.45 128.82 127.35 123.84 122.23 122.04 119.55 119.28 118.80 113.63 111.26
77.32 77.00 76.68
58.68
48.81
25.89
19.68
H
N
O
NHPh
Me
HN
15
f1 (ppm)


9.43 7.61 7.61 7.60 7.59 7.59 7.59 7.35 7.34 7.34 7.33 7.33 7.31 7.31 7.12 7.12 7.11 7.10 7.10 7.09 7.08 7.08 7.08
3.72 3.71 3.70 3.29 3.27 2.81 2.80 2.79 2.78 2.74 2.73 2.72 2.71 2.71 2.70 2.69 2.68 2.54 2.53 2.52 2.51 2.51 2.49 2.48 2.48 2.47 2.46 2.45 2.45 2.44 2.43 2.41 1.87 1.42 1.40 0.00
O
H
N
N
O
NHPh
Me
16
0.96
1.97
2.00
1.00
4.02
1.02
2.09
6.07
1.04
3.09
f1 (ppm)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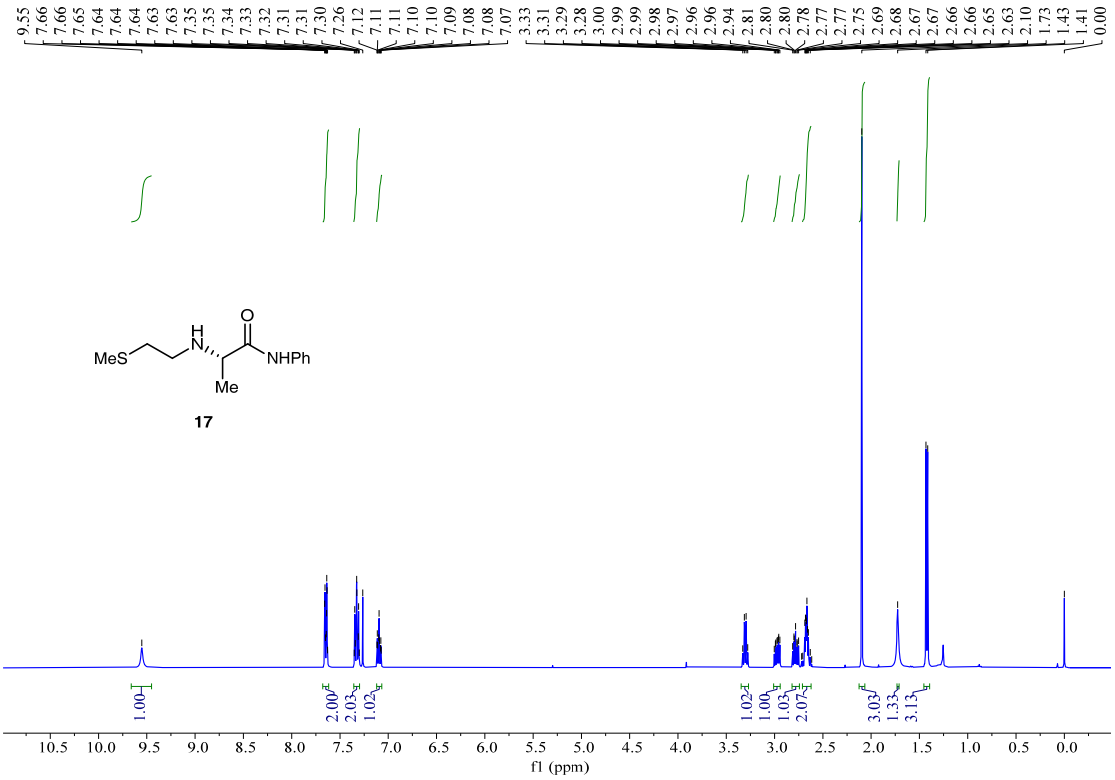
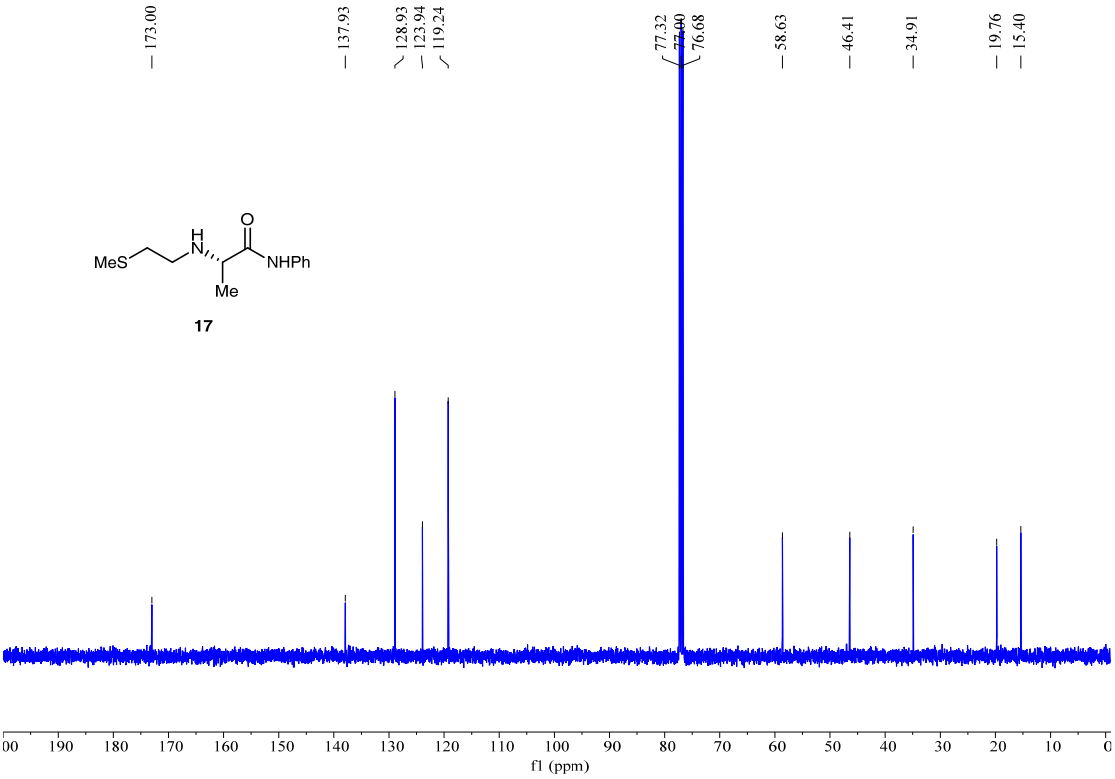
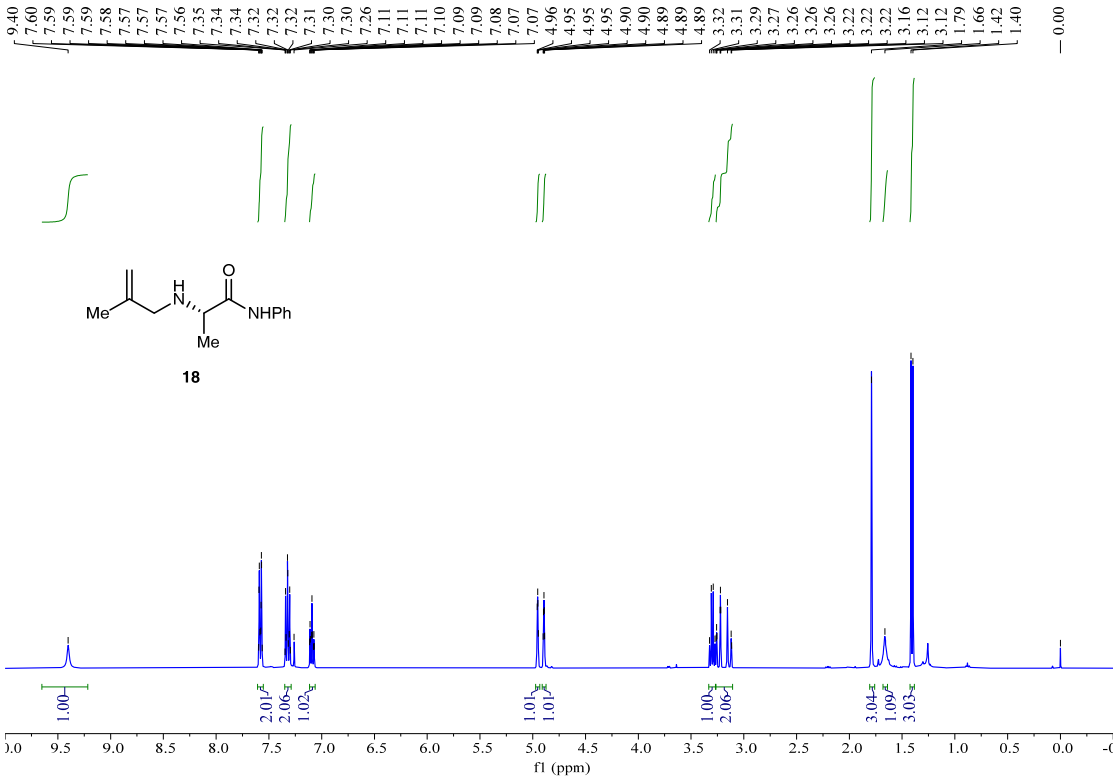
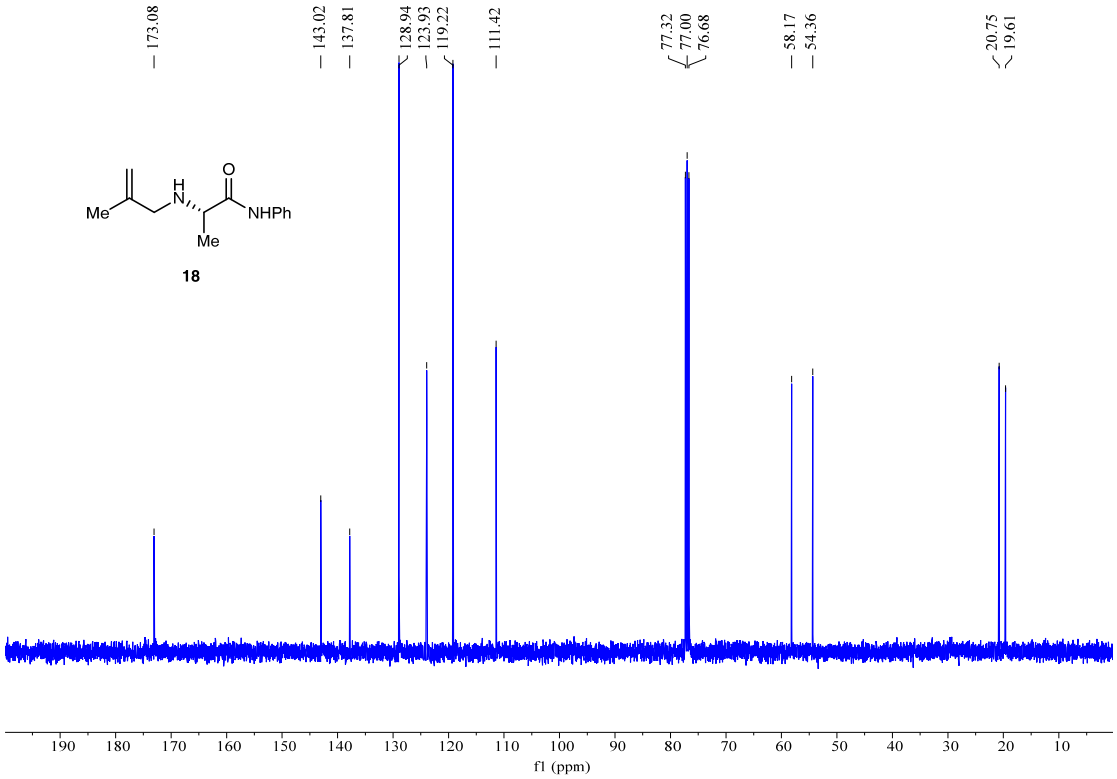
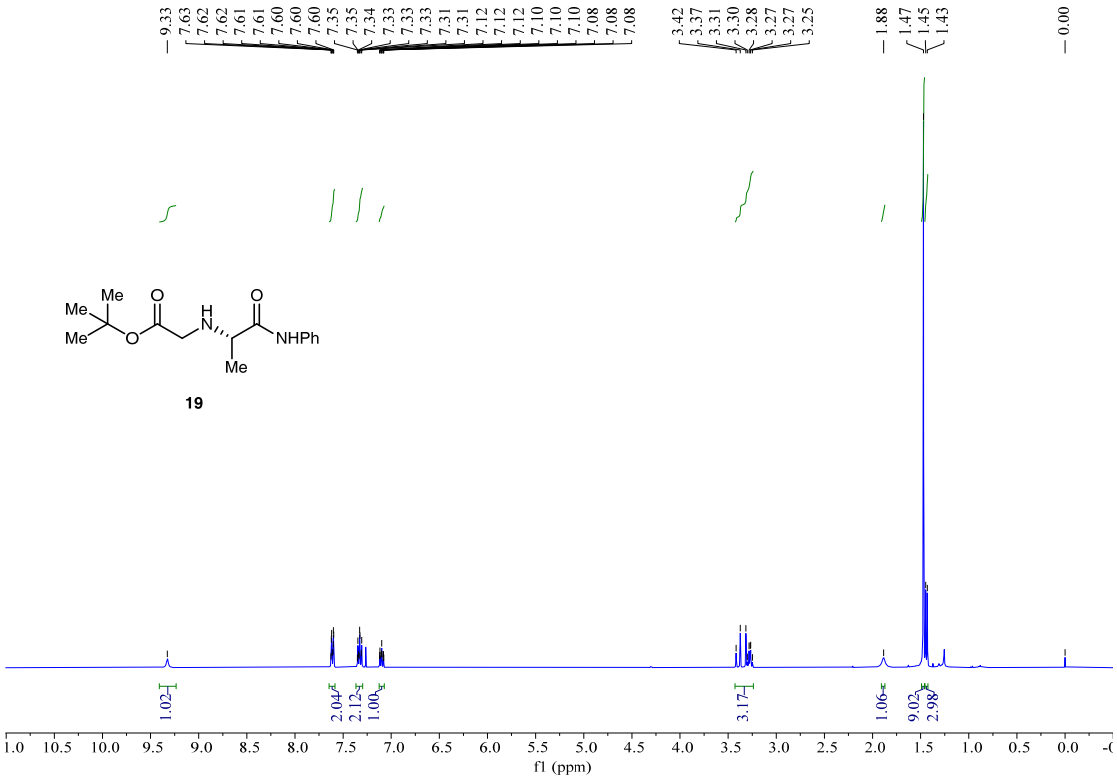
137.87
128.97
124.02
119.40
77.32
77.00
76.68
66.88
59.13
58.11
53.65
44.86
19.74
O
H
N
N
O
NHPh
Me
16
f1 (ppm)


9.55 7.66 7.66 7.65 7.64 7.64 7.64 7.63 7.63 7.35 7.35 7.34 7.33 7.32 7.31 7.31 7.30 7.26 7.12 7.11 7.11 7.10 7.10 7.09 7.08 7.08 7.07
3.33 3.31 3.29 3.28 3.00 2.99 2.99 2.98 2.97 2.96 2.96 2.94 2.81 2.80 2.80 2.78 2.77 2.75 2.69 2.68 2.67 2.67 2.66 2.66 2.65 2.63 2.10 1.73 1.43 1.41 0.00
MeS
H
N
O
NHPh
Me
17
1.00 2.00 2.03 1.02 1.02 1.00 1.03 2.07 3.03 1.33 3.13
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


173.00 137.93 128.93 123.94 119.24 77.32 77.00 76.68 58.63 46.41 34.91 19.76 15.40
MeS
H
N
O
NHPh
Me
17
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.40 7.60 7.59 7.59 7.59 7.59 7.58 7.57 7.57 7.57 7.57 7.56 7.35 7.34 7.34 7.32 7.32 7.32 7.32 7.31 7.30 7.30 7.26 7.11 7.11 7.11 7.10 7.09 7.09 7.08 7.07 7.07 4.96 4.95 4.95 4.95 4.90 4.90 4.89 4.89 4.89 3.32 3.31 3.29 3.27 3.26 3.26 3.26 3.22 3.22 3.22 3.16 3.12 3.12 1.79 1.66 1.42 1.40 0.00
Me
H
N
O
NHPh
Me
18
1.00 2.01 2.06 1.02 1.01 1.01 1.00 2.06 3.04 1.09 3.03
f1 (ppm)


173.08 143.02 137.81 128.94 123.93 119.22 111.42 77.32 77.00 76.68 58.17 54.36 20.75 19.61
Me
H
N
O
NHPh
Me
18
f1 (ppm)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7.35
7.35
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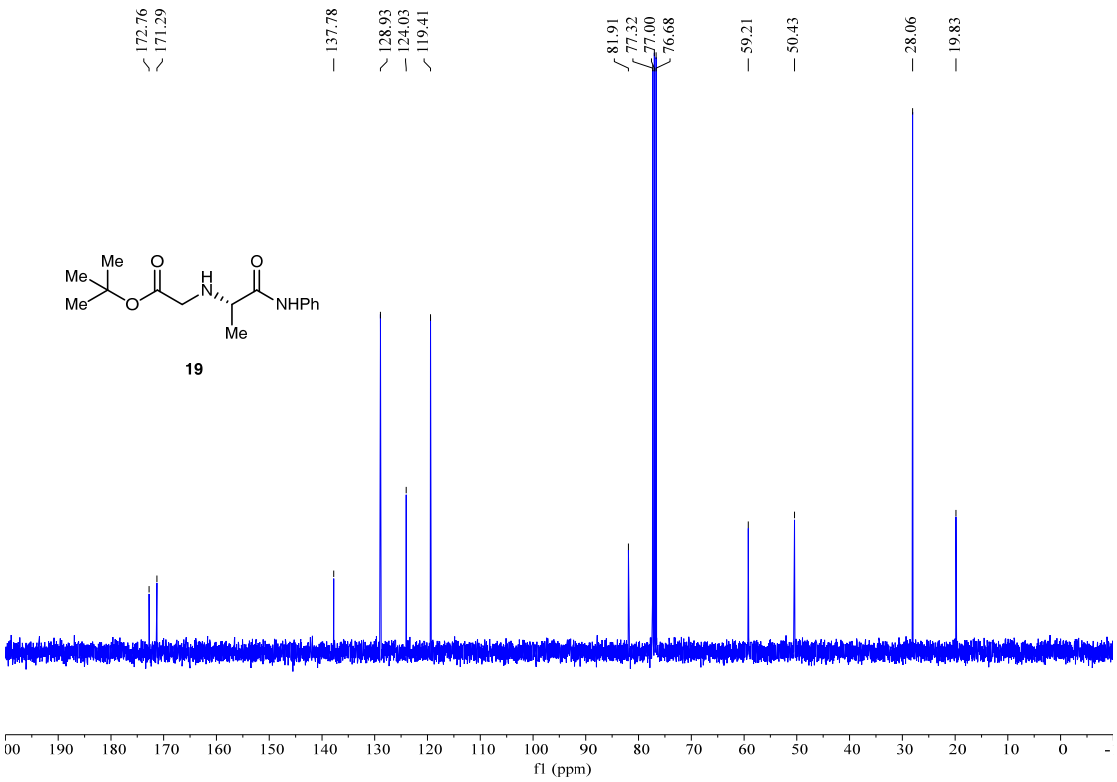
7.33
7.33
7.33
7.31
7.31
7.12
7.12
7.12
7.10
7.10
7.10
7.08
7.08
7.08
3.42
3.37
3.31
3.30
3.28
3.27
3.27
3.25
1.88
1.47
1.45
1.43
0.00
Me
Me
Me
O
O
H
N
O
NHPh
Me
19
1.02
2.04
2.12
1.00
3.17
1.06
9.02
2.98
f1 (ppm)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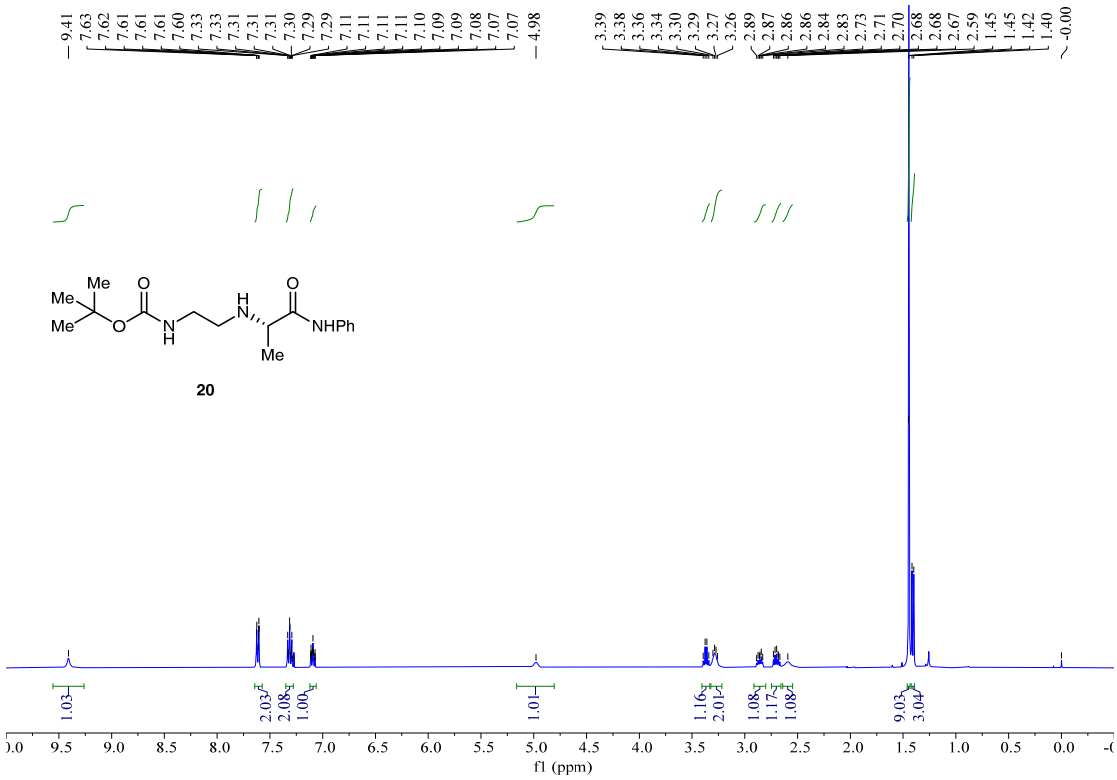
171.29
137.78
128.93
124.03
119.41
81.91
77.32
77.00
76.68
59.21
50.43
28.06
19.83
Me
Me
Me
O
O
H
N
O
NHPh
Me
19
f1 (ppm)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7.33
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7.31
7.31
7.31
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7.29
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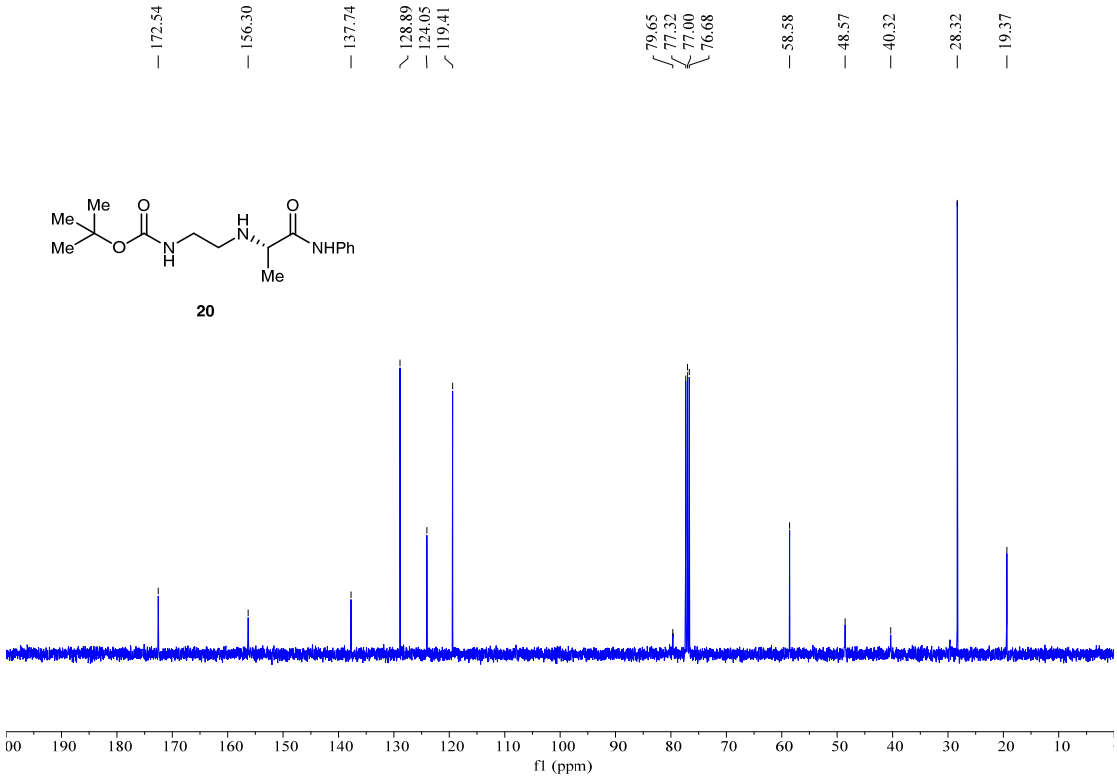
7.11
7.11
7.10
7.09
7.09
7.08
7.07
7.07
4.98
3.39
3.38
3.36
3.34
3.30
3.29
3.27
3.26
2.89
2.87
2.86
2.86
2.84
2.83
2.73
2.71
2.70
2.68
2.68
2.67
2.59
1.45
1.42
1.40
-0.00
Me
Me
Me
O
O
N
H
H
N
O
NHPh
Me
20
1.03
2.03
2.08
1.00
1.01
1.16
2.01
1.08
1.17
1.08
9.03
3.04
f1 (ppm)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124.05
119.41
79.65
77.32
77.00
76.68
58.58
48.57
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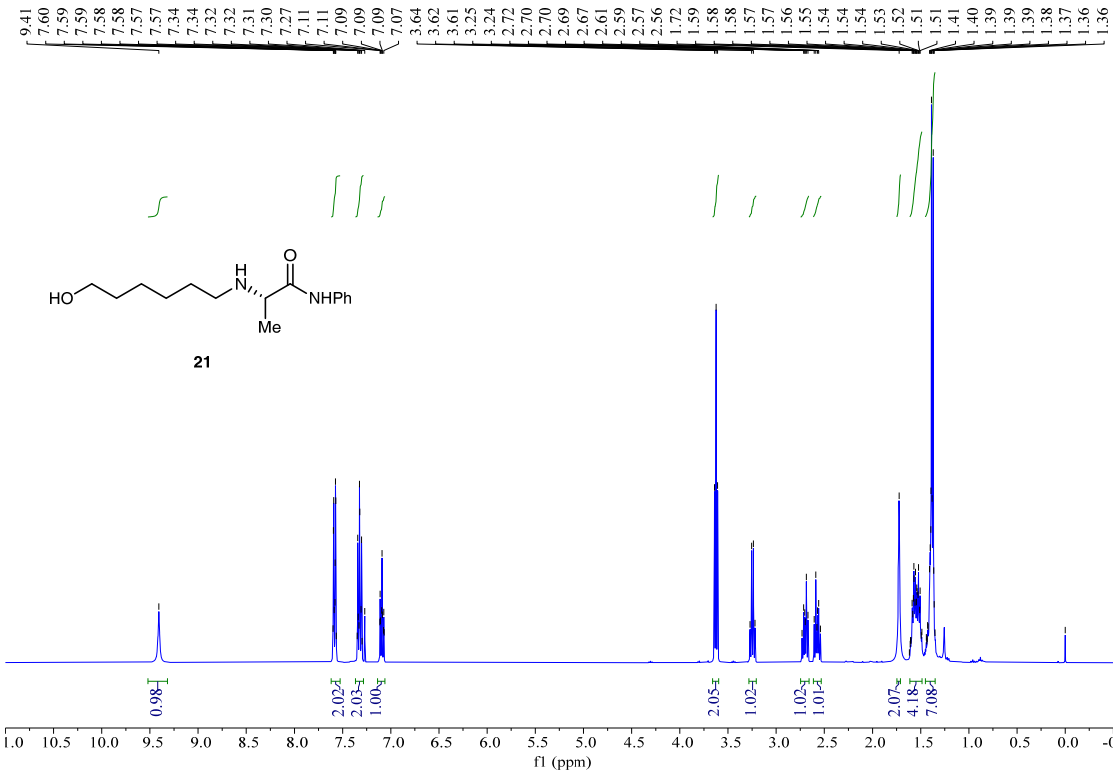
28.32
19.37
Me
Me
Me
O
O
N
H
H
N
O
NHPh
Me
20
f1 (ppm)


9.41
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7.59
7.59
7.58
7.58
7.57
7.57
7.34
7.34
7.32
7.32
7.31
7.30
7.27
7.11
7.11
7.09
7.09
7.09
7.07
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3.62
3.61
3.25
3.24
2.72
2.70
2.70
2.69
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1.52
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1.51
1.41
1.40
1.39
1.39
1.39
1.38
1.37
1.36
1.36
HO
H
N
O
NHPh
Me
21
0.98
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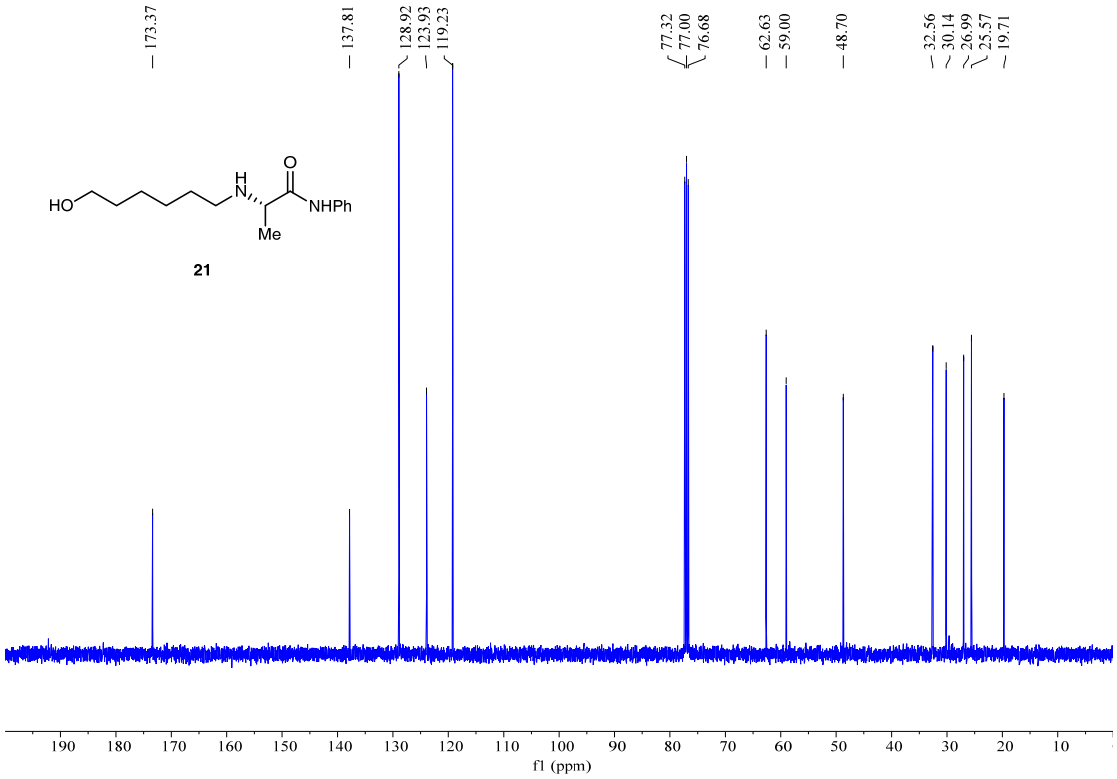
2.03
1.00
2.05
1.02
1.02
1.01
2.07
4.18
7.08
f1 (ppm)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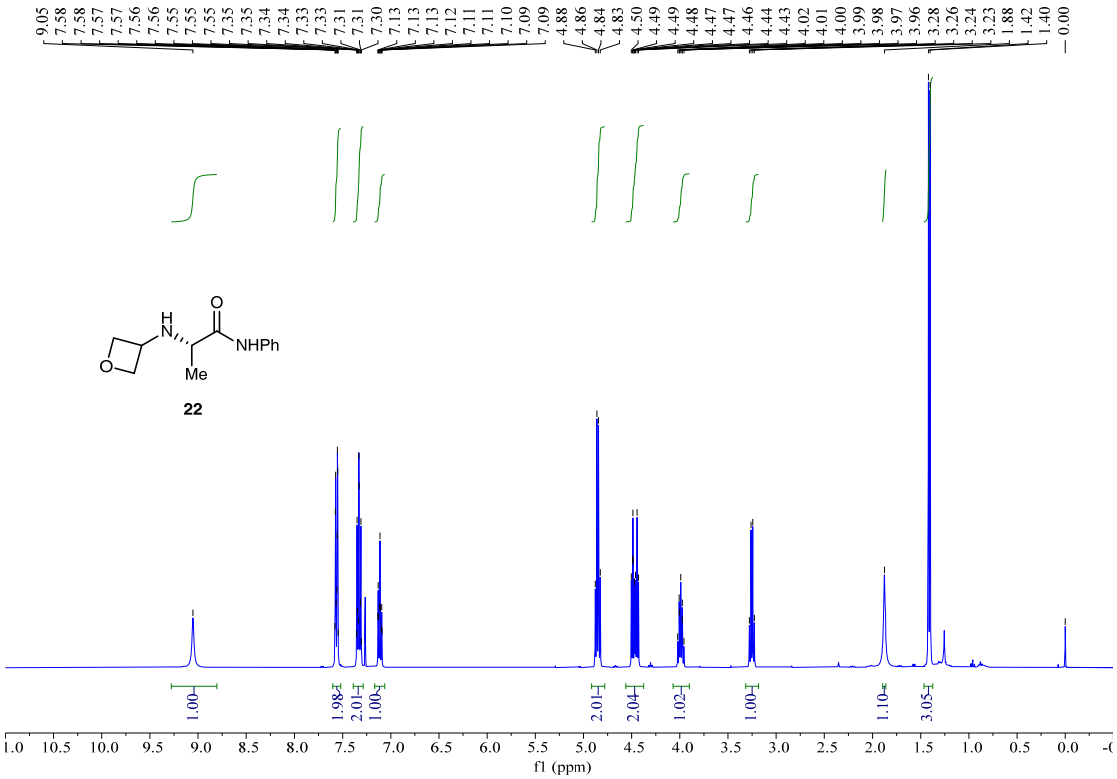
123.93
119.23
77.32
77.00
76.68
62.63
59.00
48.70
32.56
30.14
26.99
25.57
19.71
HO
H
N
O
NHPh
Me
21
f1 (ppm)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7.55
7.35
7.35
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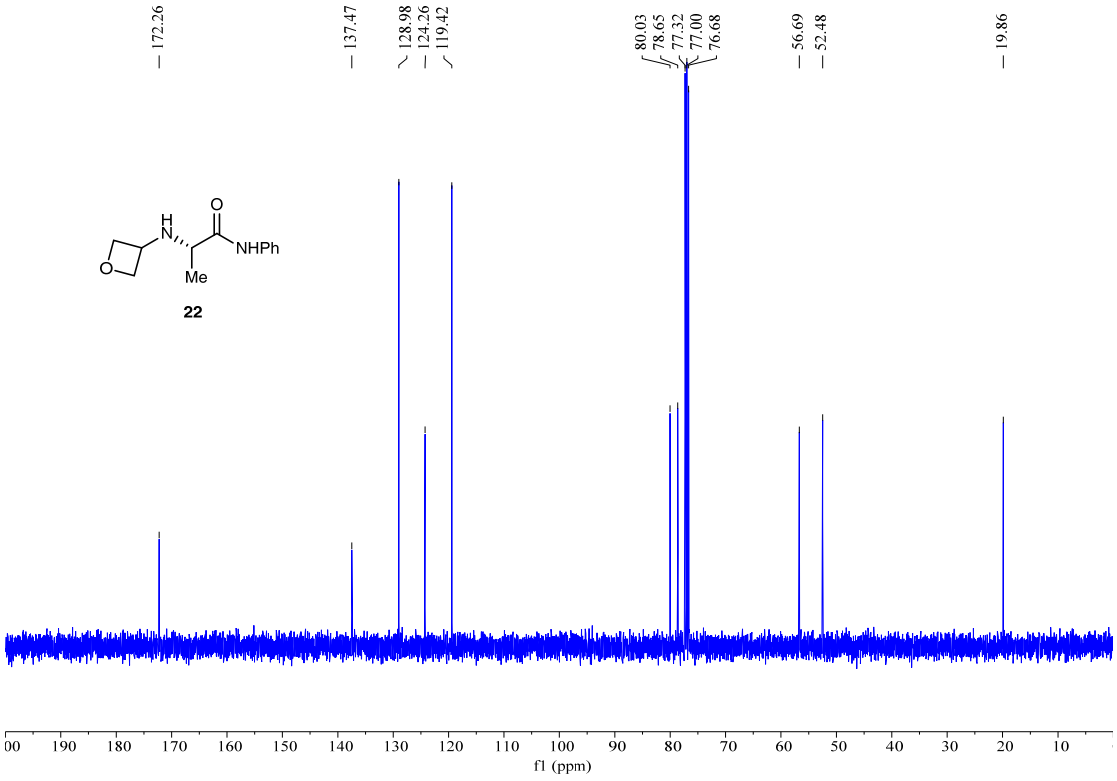
7.34
7.33
7.33
7.31
7.31
7.30
7.13
7.13
7.12
7.11
7.11
7.10
7.09
7.09
4.88
4.86
4.84
4.83
4.50
4.49
4.49
4.48
4.47
4.46
4.44
4.43
4.02
4.01
4.00
3.99
3.98
3.97
3.96
3.28
3.26
3.24
3.23
1.88
1.42
1.40
0.00
O
H
N
O
NHPh
Me
22
1.00
1.98
2.01
1.00
2.01
2.04
1.02
1.00
1.10
3.05
f1 (ppm)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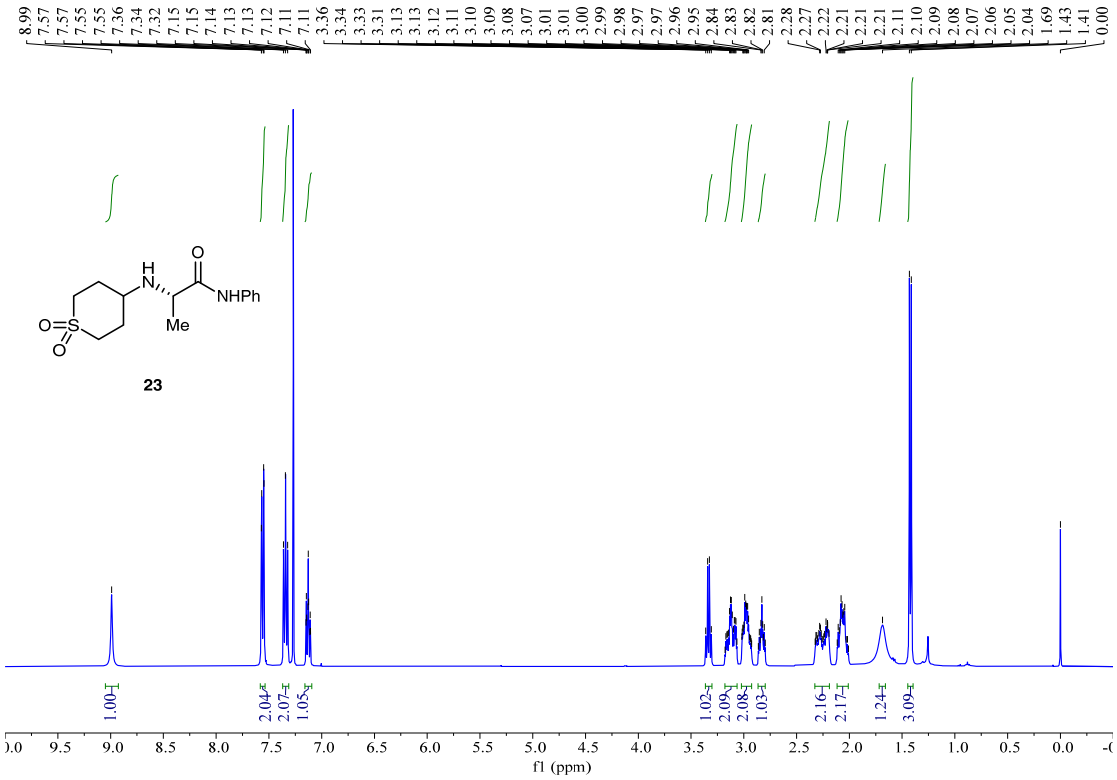
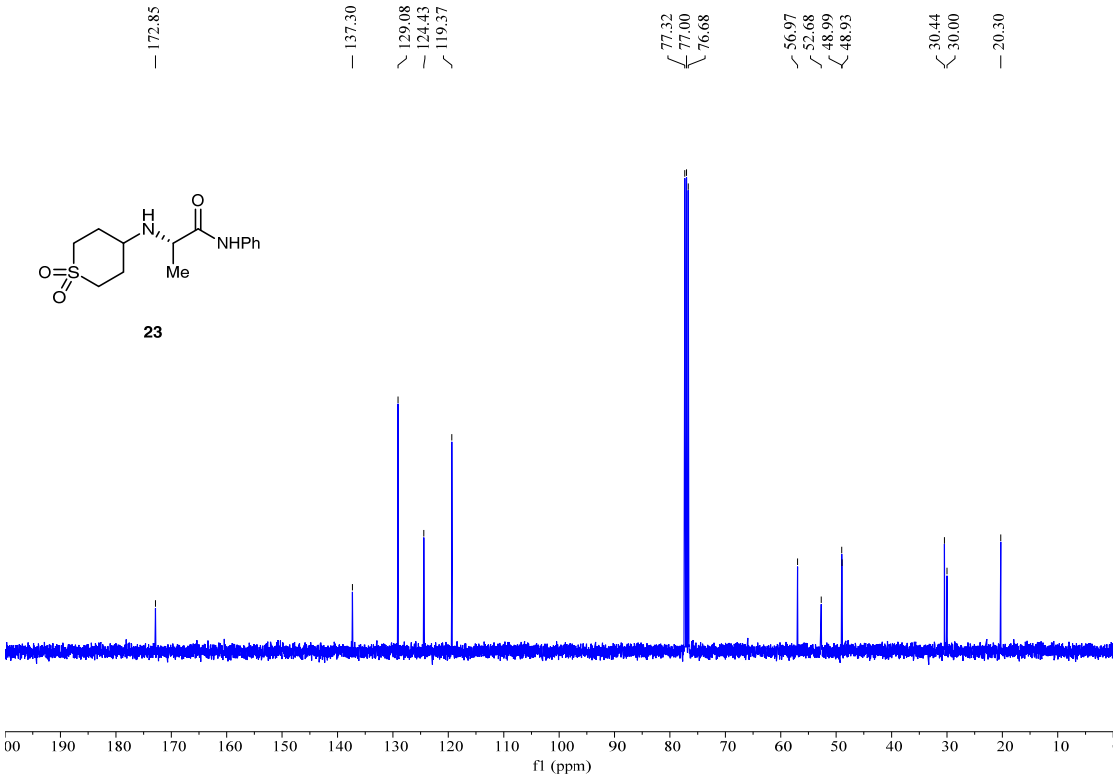
137.47
128.98
124.26
119.42
80.03
78.65
77.32
77.00
76.68
56.69
52.48
19.86
O
H
N
O
NHPh
Me
22
f1 (ppm)


8.99 7.57 7.57 7.55 7.55 7.36 7.34 7.32 7.15 7.15 7.14 7.13 7.13 7.12 7.11 7.11 3.36 3.34 3.33 3.31 3.13 3.13 3.12 3.11 3.10 3.09 3.08 3.07 3.01 3.01 3.00 2.99 2.98 2.97 2.97 2.96 2.95 2.84 2.83 2.82 2.81 2.28 2.27 2.22 2.21 2.21 2.21 2.11 2.10 2.09 2.08 2.07 2.06 2.05 2.04 1.69 1.43 1.41 0.00
H
N
O
NHPh
Me
O=S
O
23
1.00 2.04 2.07 1.05 1.02 2.09 2.08 1.03 2.16 2.17 1.24 3.09
f1 (ppm)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O
NHPh
Me
O=S
O
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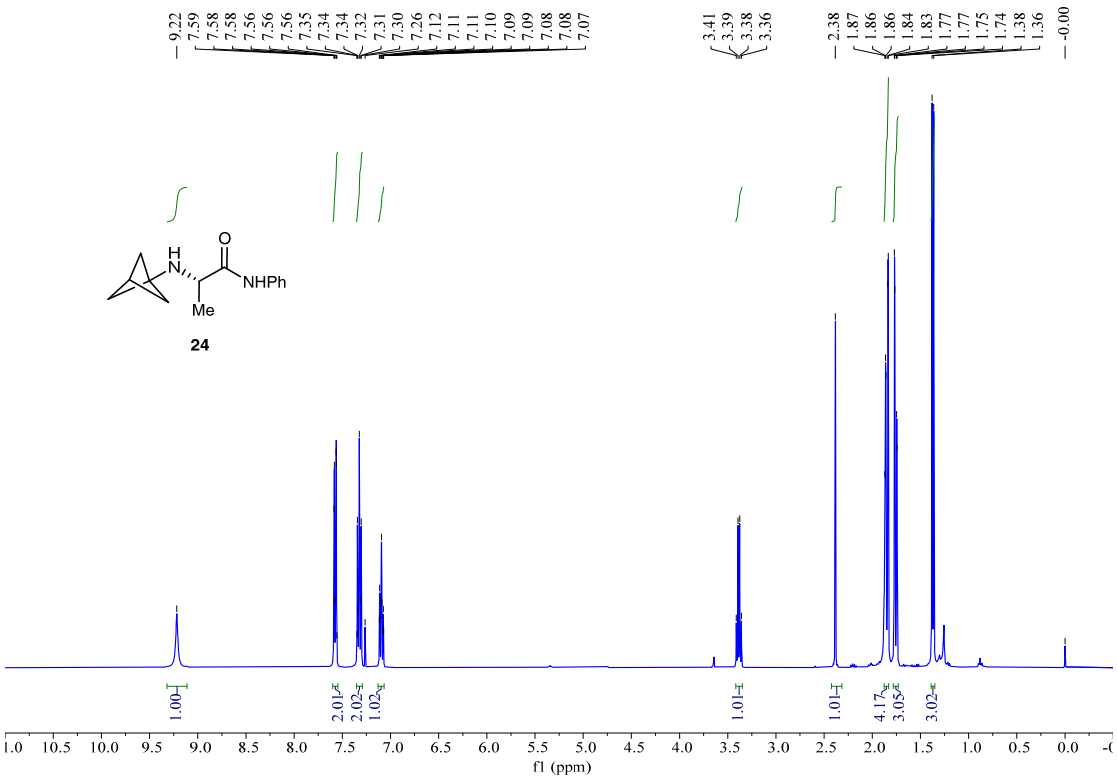
f1 (ppm)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7.11
7.11
7.10
7.09
7.09
7.08
7.08
7.07
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3.38
3.36
2.38
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1.86
1.86
1.84
1.83
1.77
1.77
1.75
1.74
1.38
1.36
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H
N
O
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1.02
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1.01
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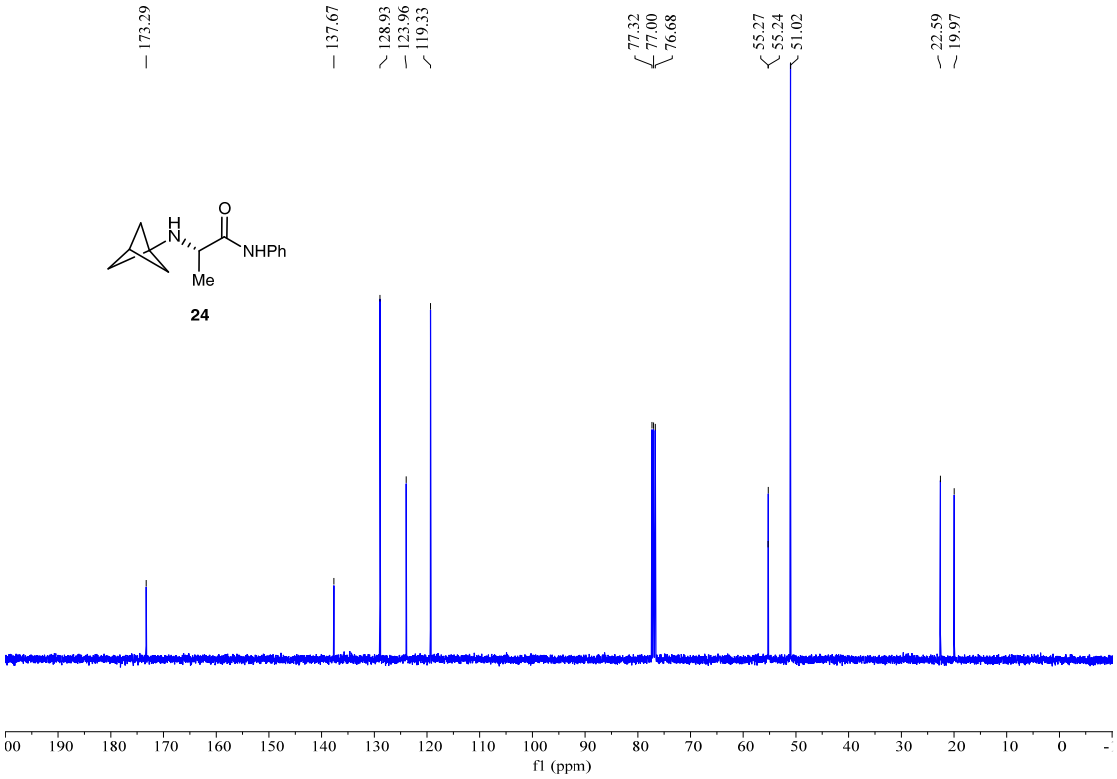
3.02
f1 (ppm)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22.59
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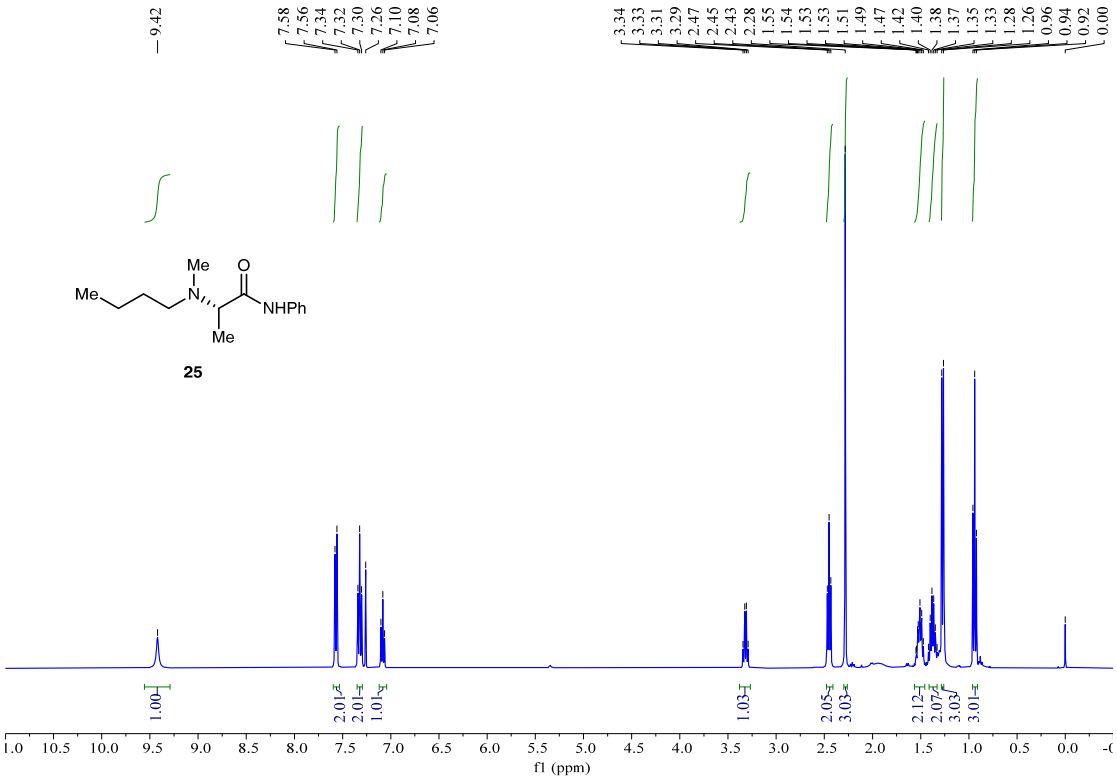
N
O
NHPh
Me
24
f1 (ppm)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3.29
2.47
2.45
2.43
2.28
1.55
1.54
1.53
1.53
1.51
1.49
1.47
1.42
1.40
1.38
1.37
1.35
1.33
1.28
1.26
0.96
0.94
0.92
0.00
Me
N
O
NHPh
Me
Me
25
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1.01
1.03
2.05
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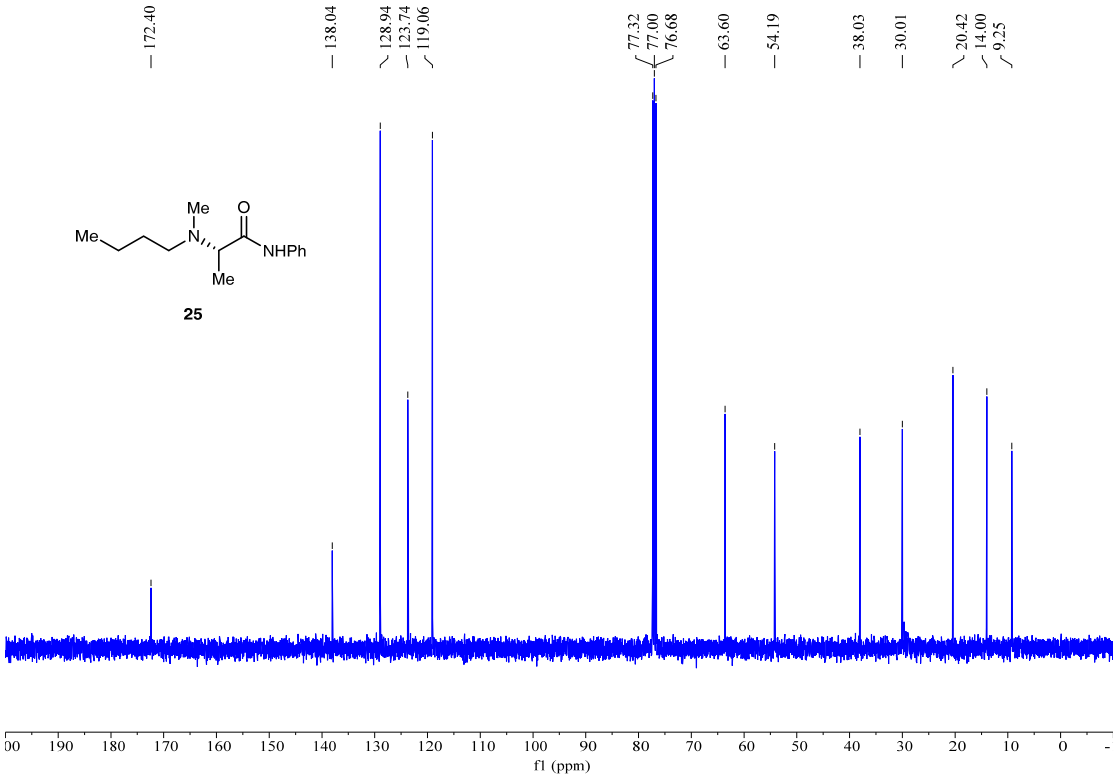
3.01
f1 (ppm)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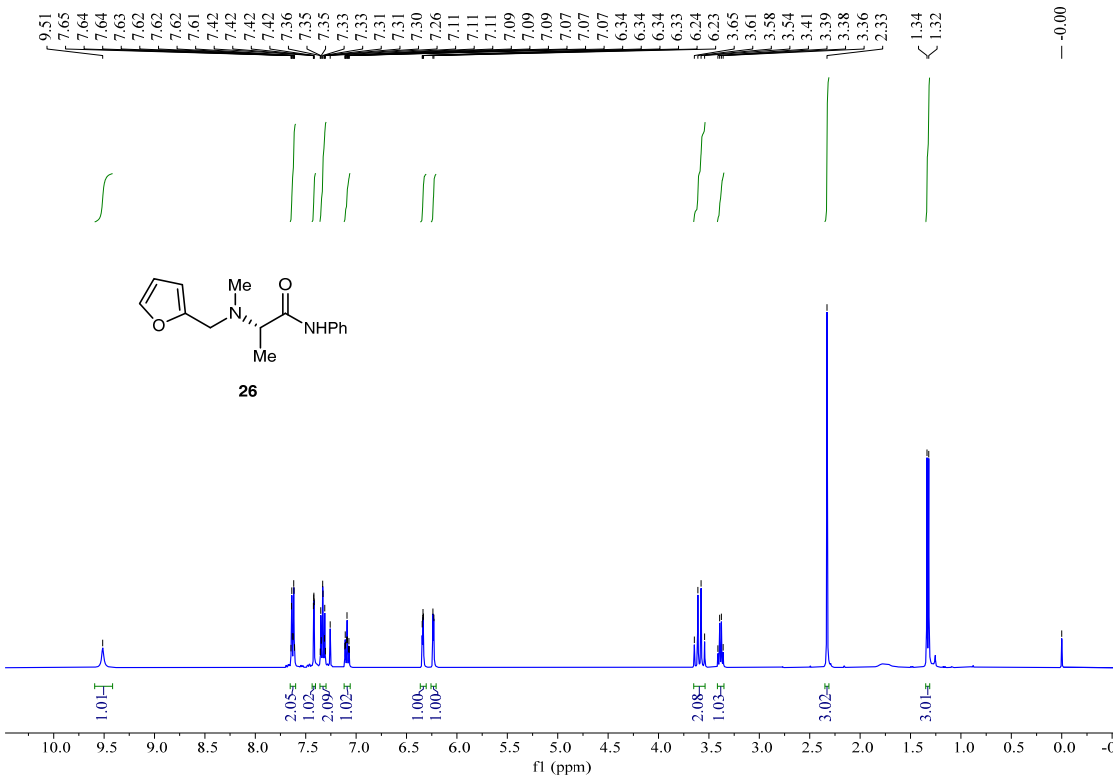
N
O
NHPh
Me
Me
25
f1 (ppm)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3.61
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3.41
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3.38
3.36
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1.34
1.32
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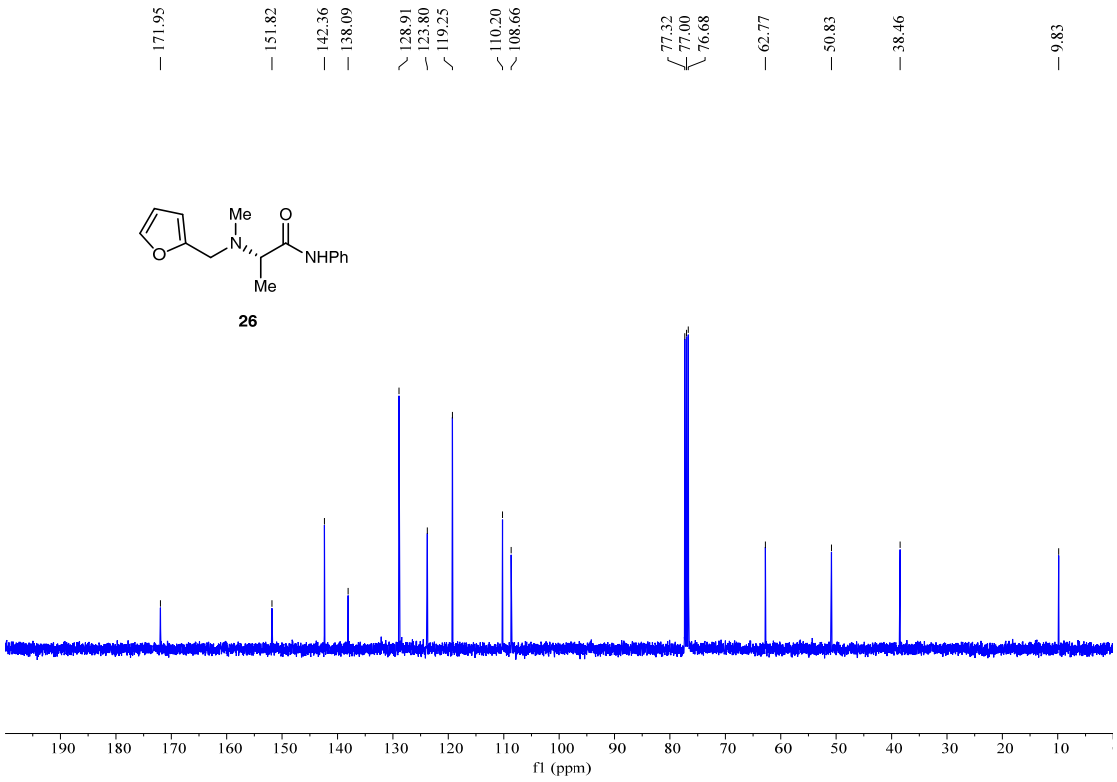
Me
O
N
NHPh
Me
O
26
1.01
2.05
1.02
2.09
1.02
1.00
1.00
2.08
1.03
3.02
3.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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123.80
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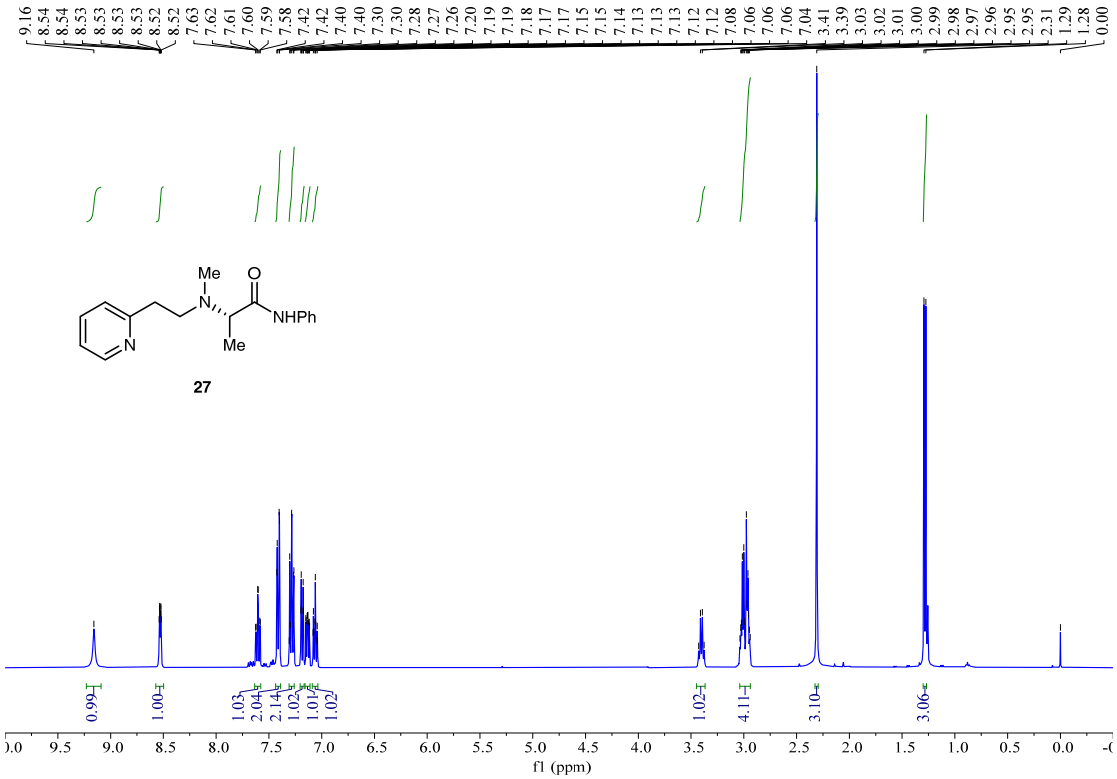
110.20
108.66
77.32
77.00
76.68
62.77
50.83
38.46
9.83
Me
O
N
NHPh
Me
O
26
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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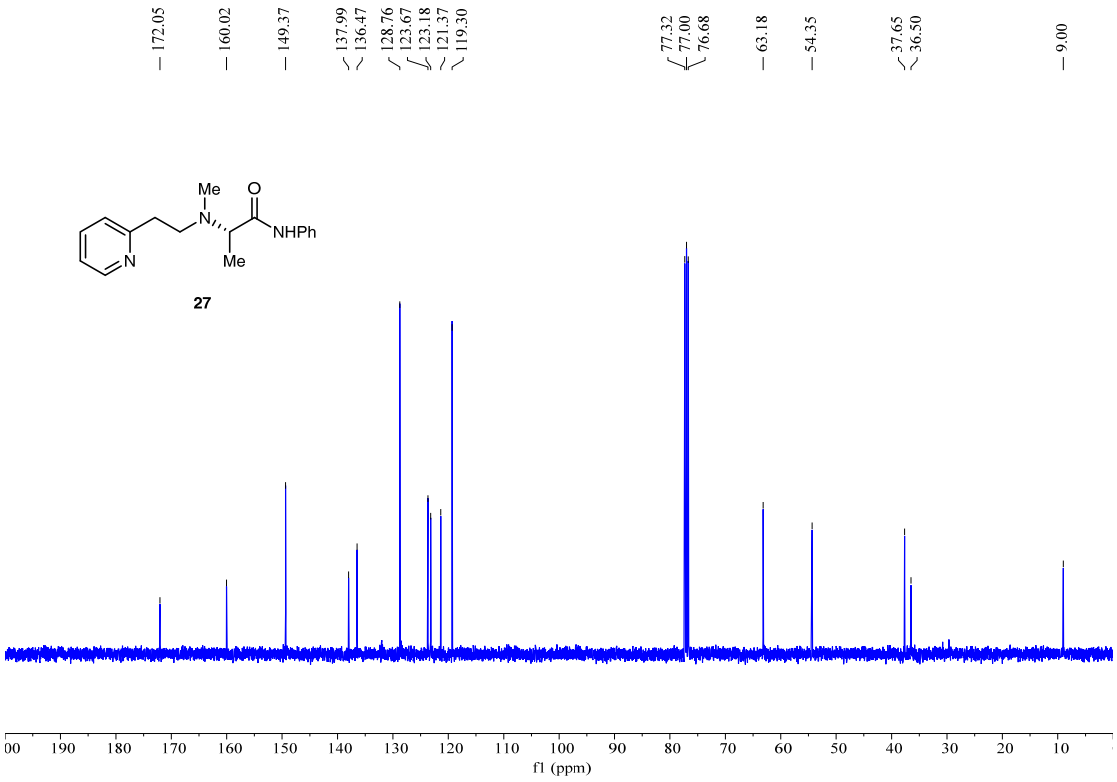
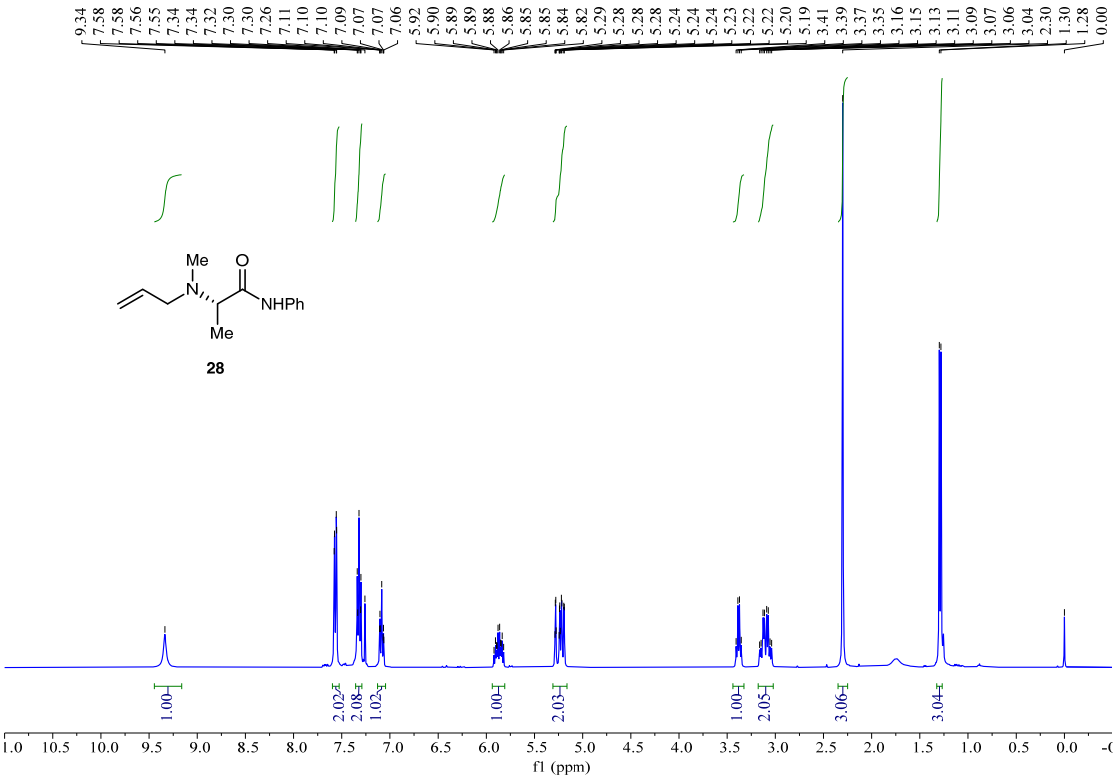
7.63 7.62 7.61 7.60 7.59 7.58 7.42 7.42 7.40 7.40 7.30 7.30 7.28 7.27 7.26 7.20 7.19 7.19 7.18 7.17 7.17 7.15 7.15 7.14 7.13 7.13 7.13 7.12 7.12 7.08 7.06 7.06 7.06 7.04 3.41 3.39 3.03 3.02 3.01 3.00 3.00 2.99 2.98 2.97 2.96 2.95 2.95 2.31 1.29 1.28 0.00
Me
O
N
NHPh
Me
N
27
0.99 1.00 1.03 2.04 2.14 1.02 1.01 1.02 1.02 4.11 3.10 3.06
f1 (ppm)


172.05 160.02 149.37 137.99 136.47 128.76 123.67 123.18 121.37 119.30 77.32 77.00 76.68 63.18 54.35 37.65 36.50 9.00
Me
O
N
NHPh
Me
N
27
f1 (ppm)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7.26
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7.07
7.07
7.06
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5.84
5.82
5.29
5.28
5.28
5.28
5.24
5.24
5.24
5.23
5.22
5.22
5.20
5.19
3.41
3.39
3.37
3.35
3.16
3.15
3.13
3.11
3.09
3.07
3.06
3.04
2.30
1.30
1.28
0.00
Me
O
N
NHPh
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2.08
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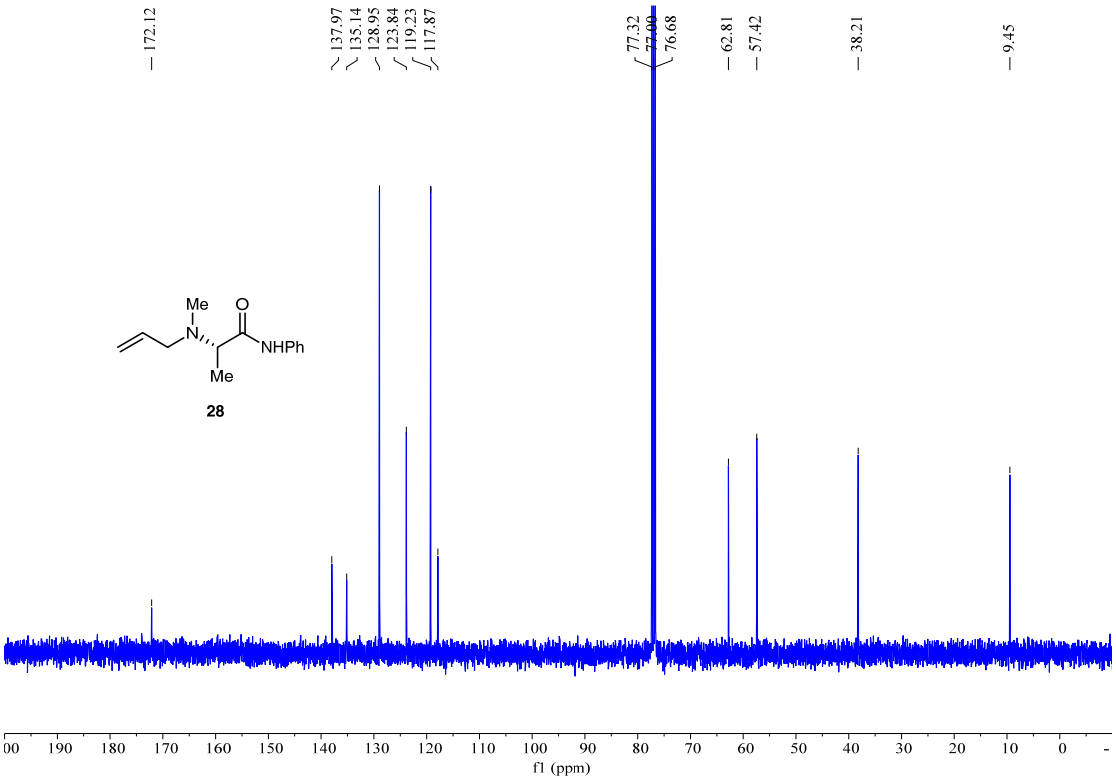
1.00
2.03
1.00
2.05
3.06
3.04
f1 (ppm)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57.42
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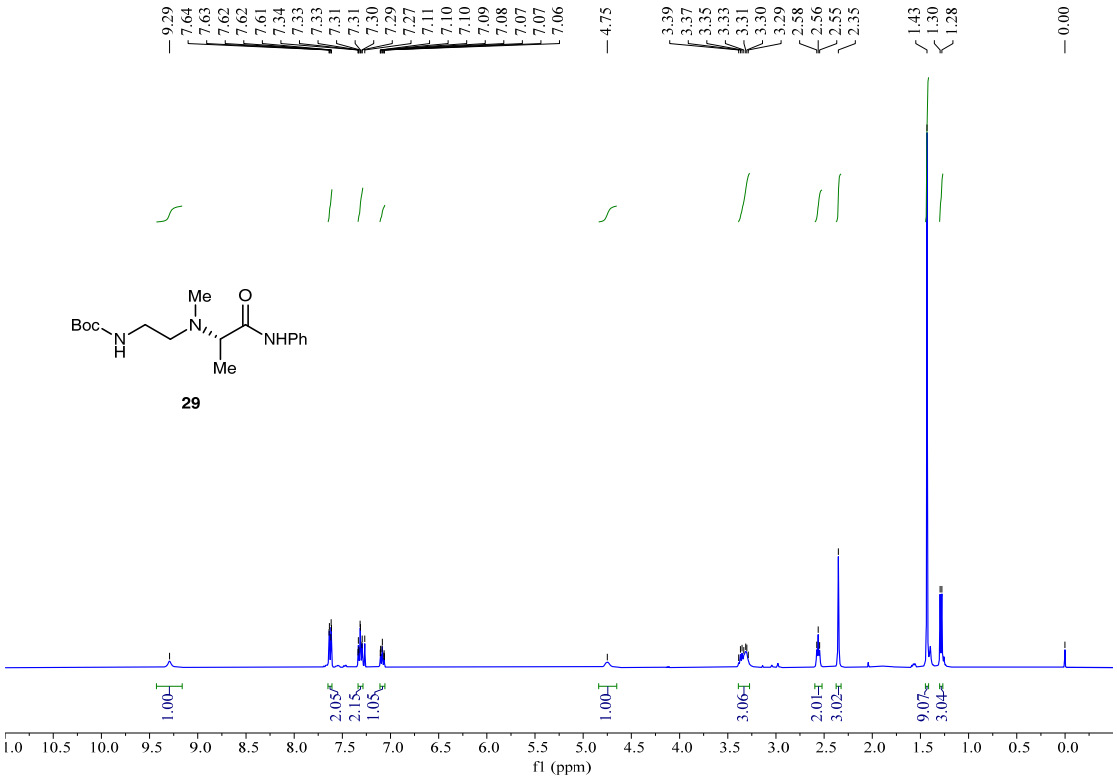
Me
O
N
NHPh
Me
28
f1 (ppm)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7.33
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7.31
7.31
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7.11
7.10
7.10
7.09
7.08
7.07
7.07
7.06
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3.39
3.37
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3.33
3.31
3.30
3.29
2.58
2.56
2.55
2.35
1.43
1.30
1.28
0.00
Boc
N
H
Me
N
O
NHPh
Me
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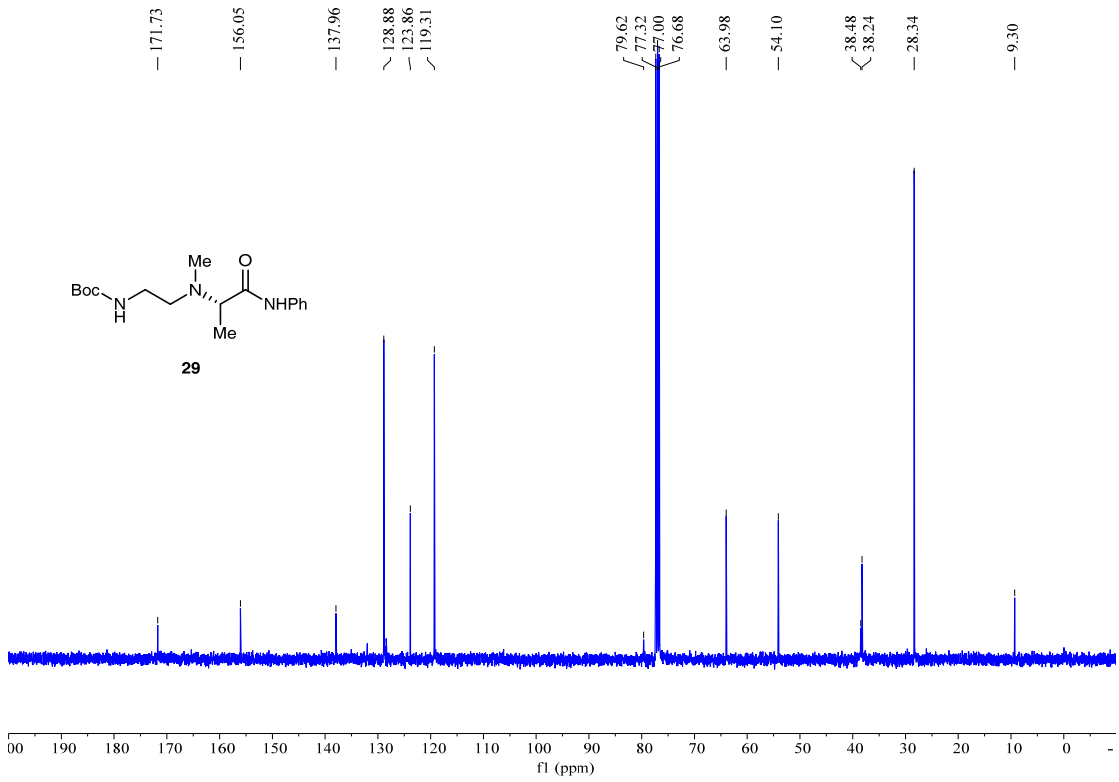
2.15
1.05
1.00
3.06
2.01
3.02
9.07
3.04
f1 (ppm)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77.32
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76.68
63.98
54.10
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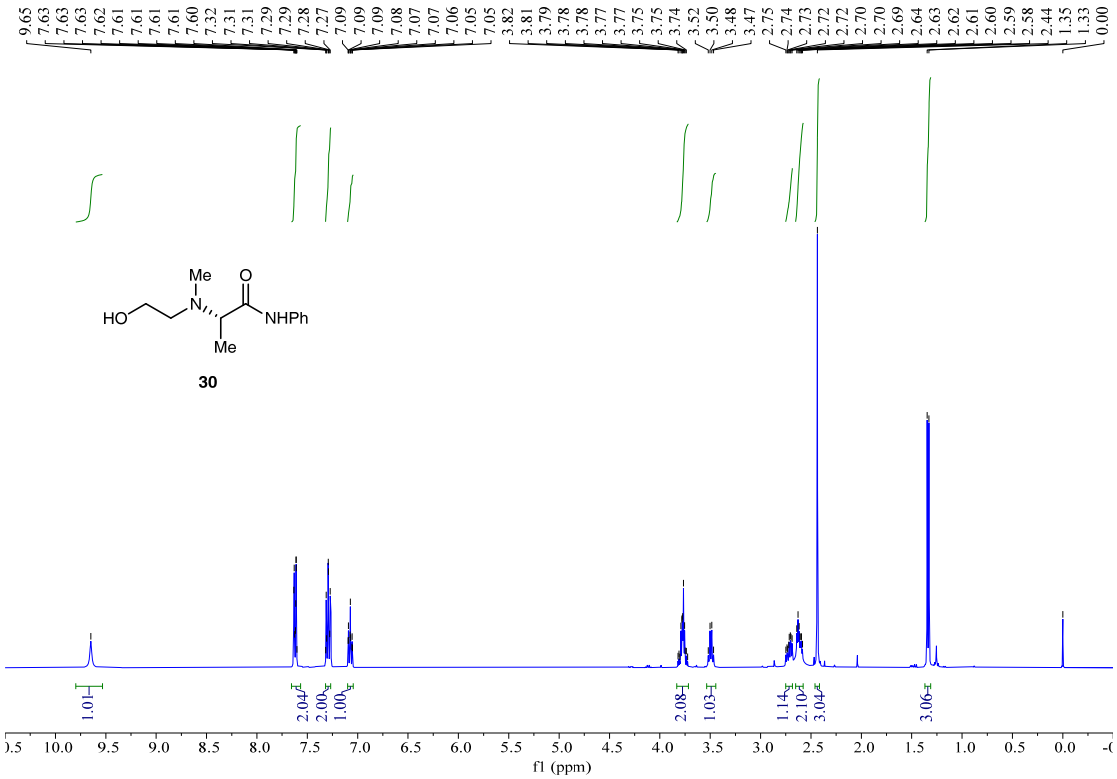
28.34
9.30
Boc
N
H
Me
N
O
NHPh
Me
29
f1 (ppm)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O
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HO
Me
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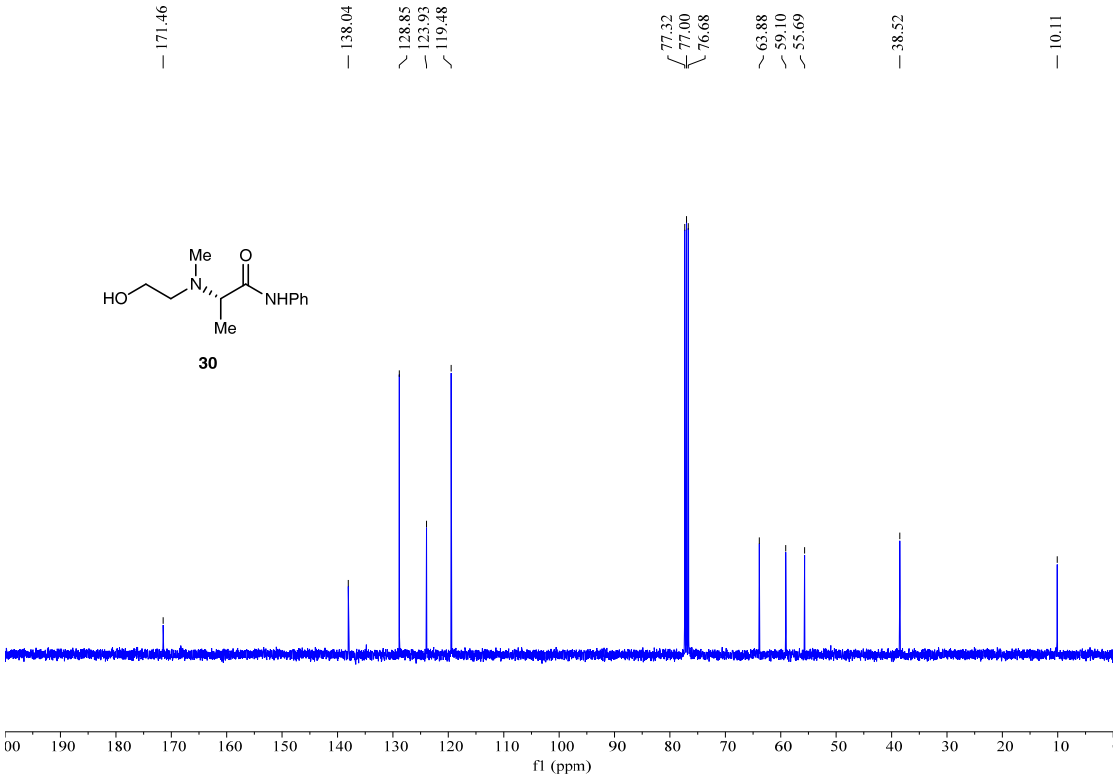
f1 (ppm)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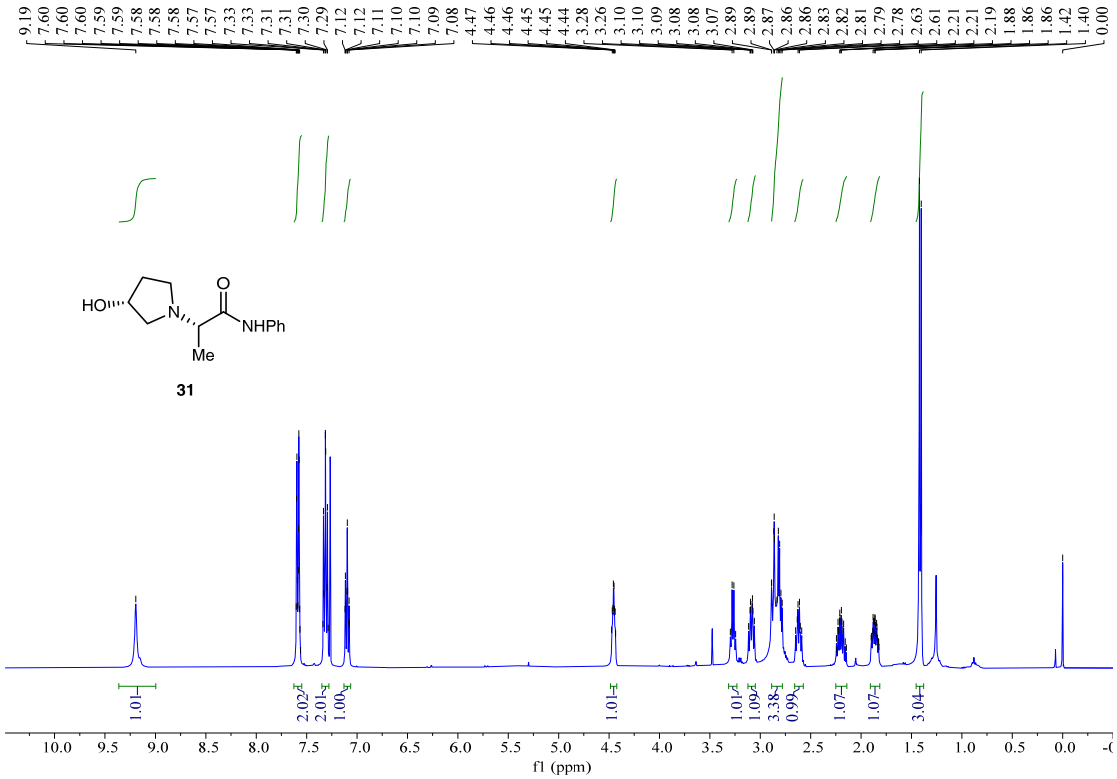
O
NHPh
HO
Me
30
f1 (ppm)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1.01
1.09
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1.07
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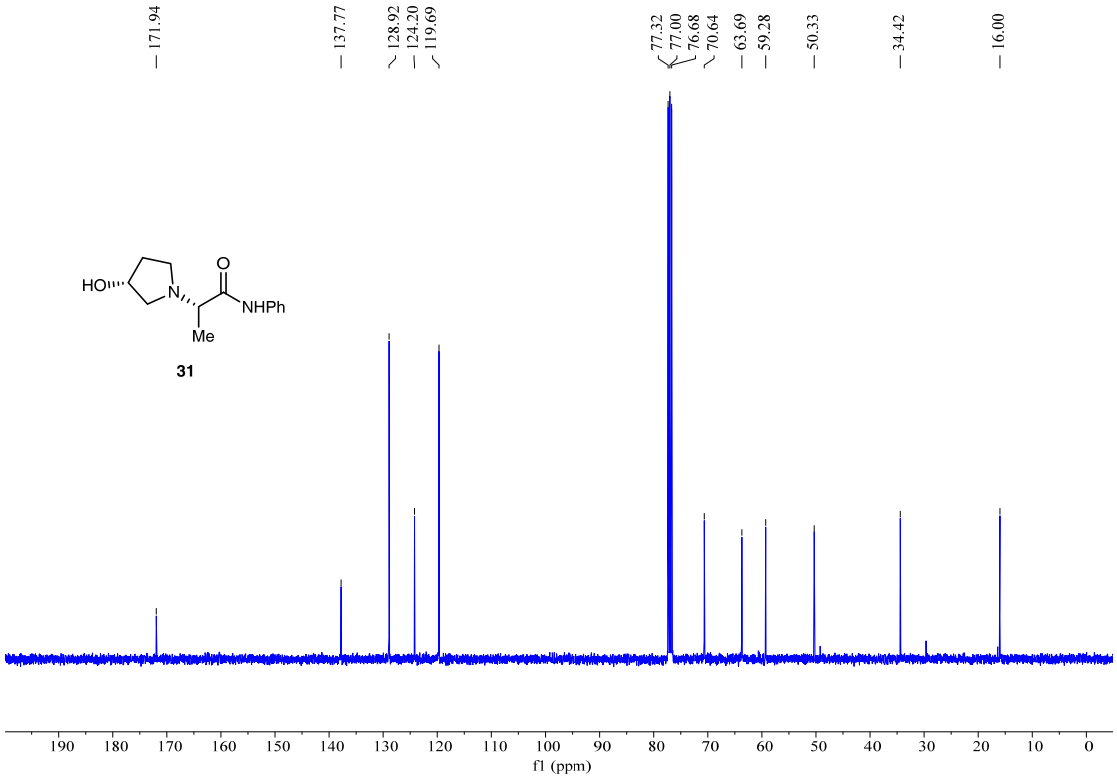
3.04
f1 (ppm)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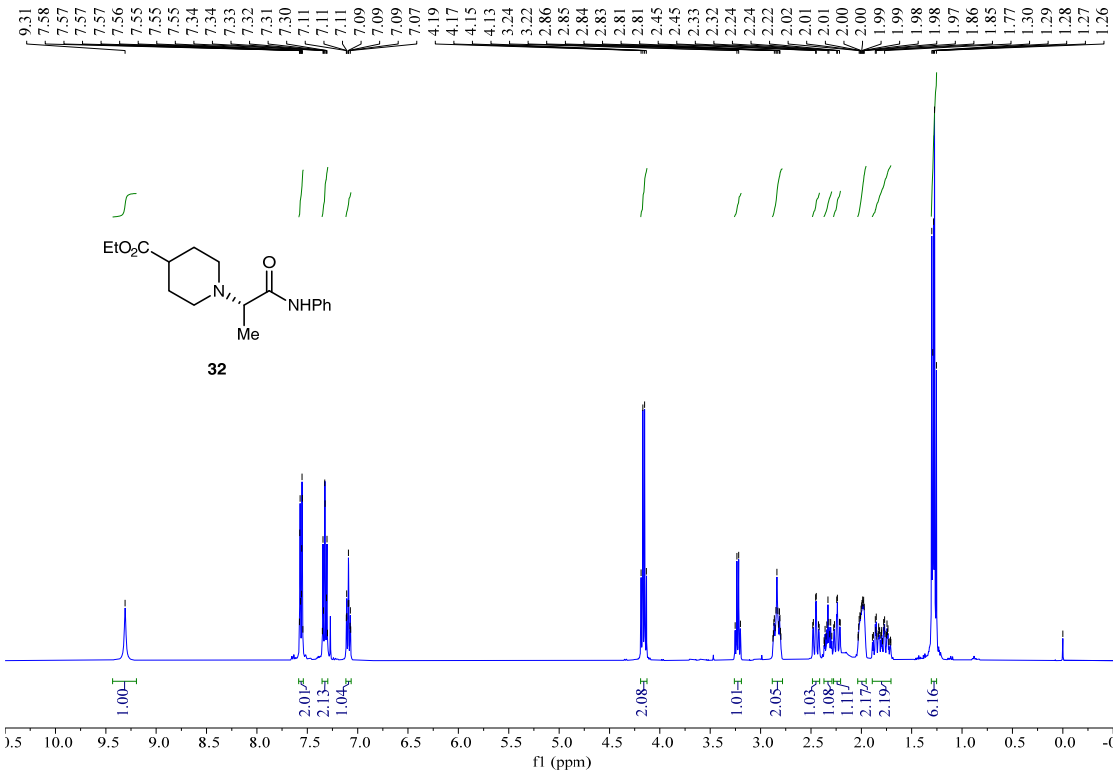
34.42
16.00
HO
N
O
NHPh
Me
31
f1 (ppm)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4.19 4.17 4.15 4.13 3.24 3.22 2.86 2.85 2.84 2.83 2.81 2.81 2.45 2.45 2.33 2.32 2.24 2.24 2.22 2.02 2.01 2.01 2.00 2.00 1.99 1.99 1.98 1.98 1.97 1.86 1.85 1.77 1.30 1.29 1.28 1.27 1.26
EtO2C
N
O
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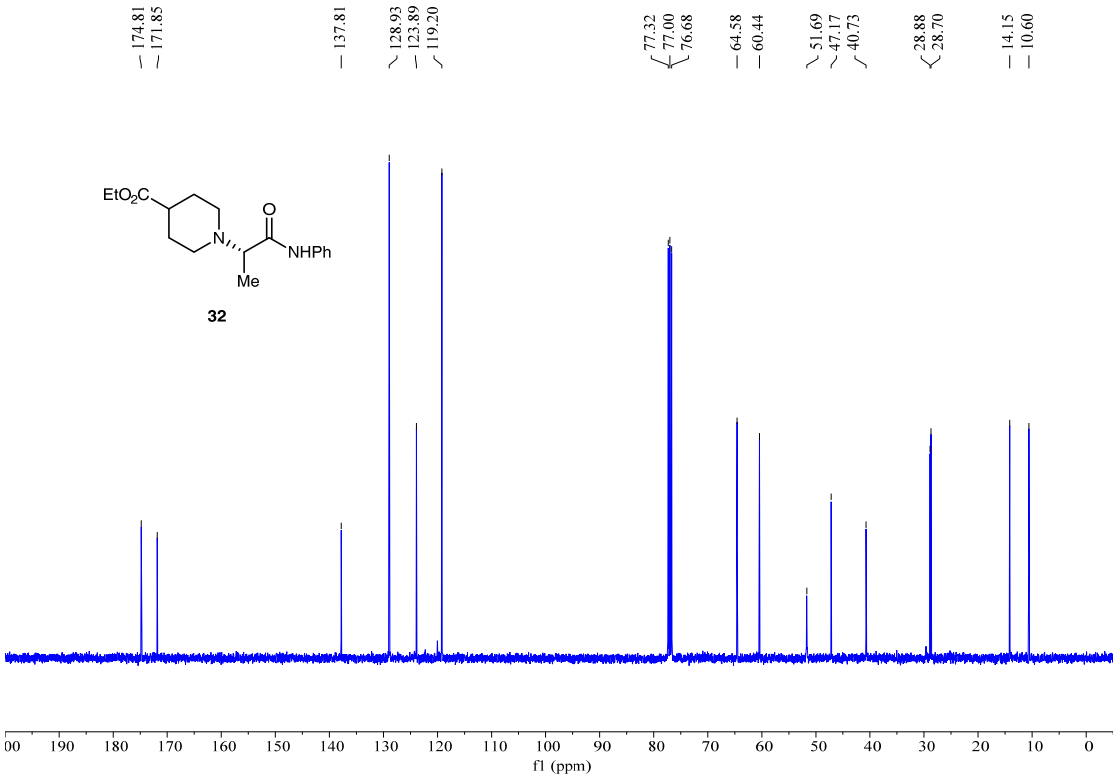
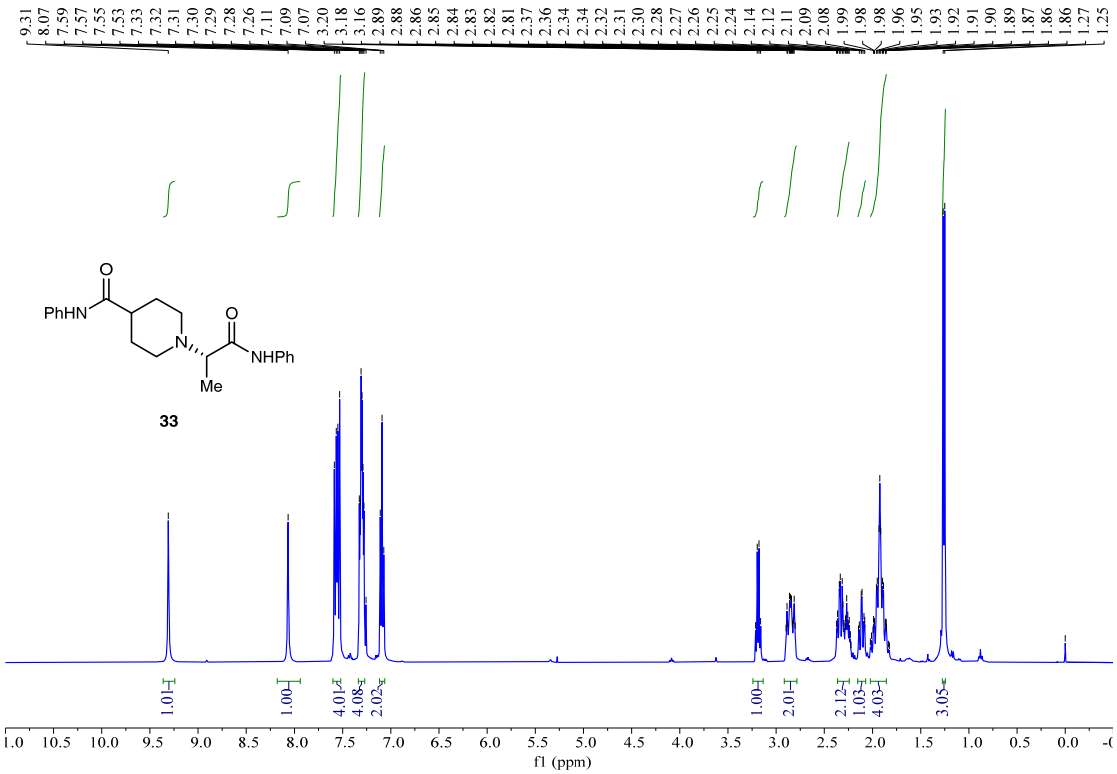
f1 (ppm)


174.81 171.85 137.81 128.93 123.89 119.20 77.32 77.00 76.68 64.58 60.44 51.69 47.17 40.73 28.88 28.70 14.15 10.60
EtO2C
N
O
NHPh
Me
32
f1 (ppm)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7.11
7.09
7.07
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1.92
1.91
1.90
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1.87
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1.86
1.27
1.25
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O
N
O
NHPh
Me
33
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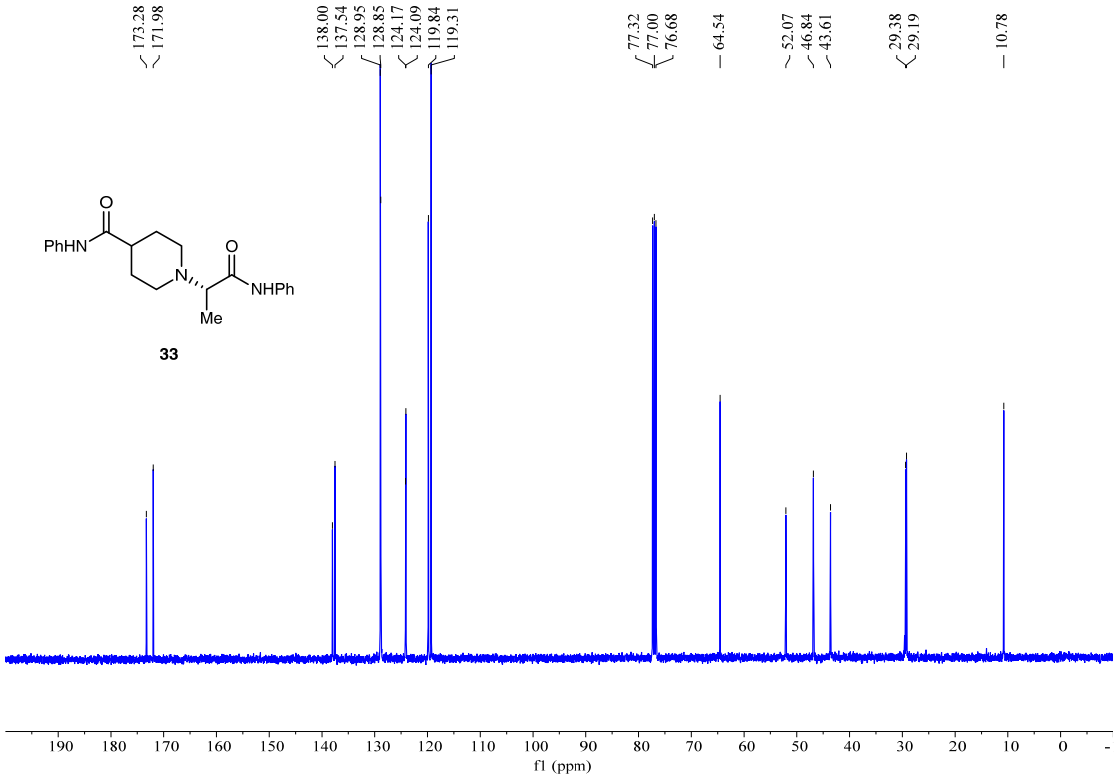
3.05
f1 (ppm)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77.00
76.68
64.54
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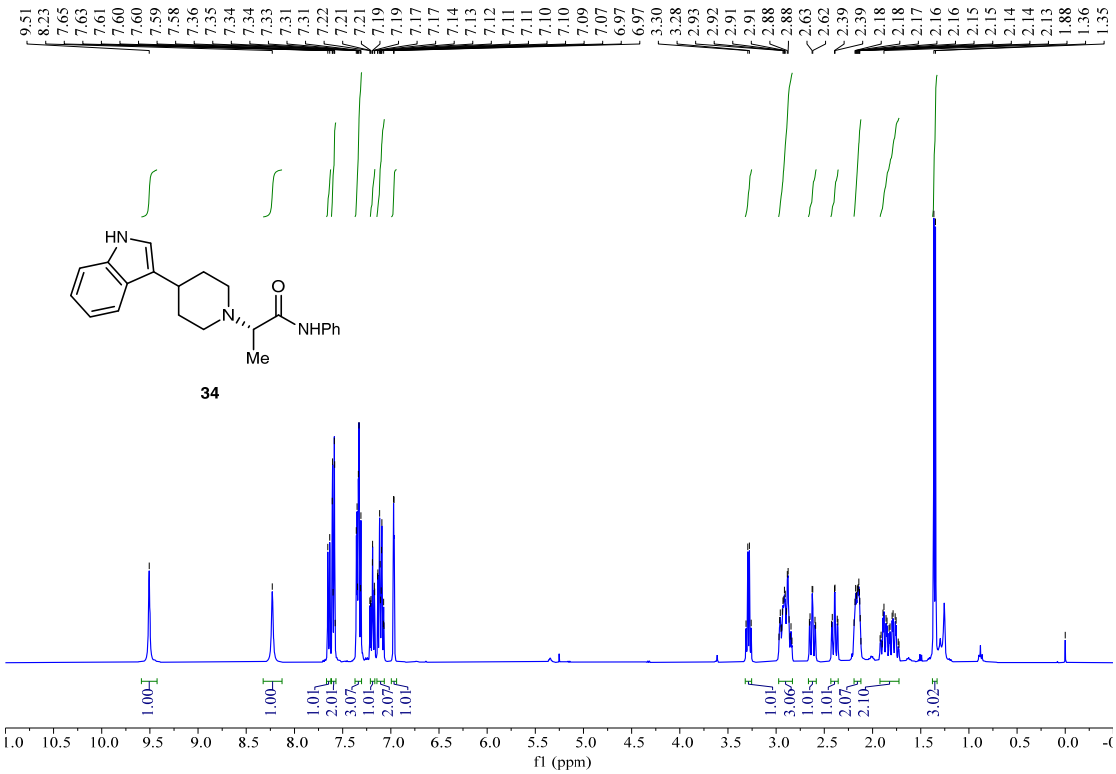
29.38
29.19
10.78
PhHN
O
N
O
NHPh
Me
33
f1 (ppm)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2.14
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1.35
HN
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Me
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1.01
2.01
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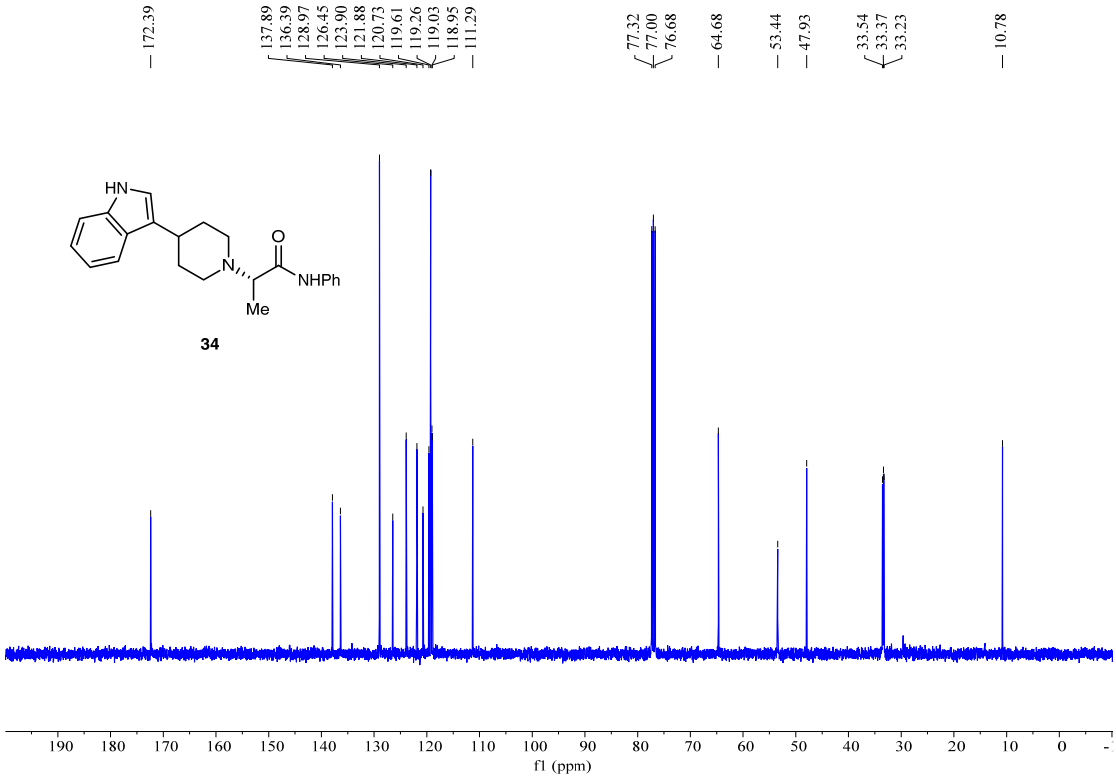
2.10
3.02
f1 (ppm)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118.95
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77.00
76.68
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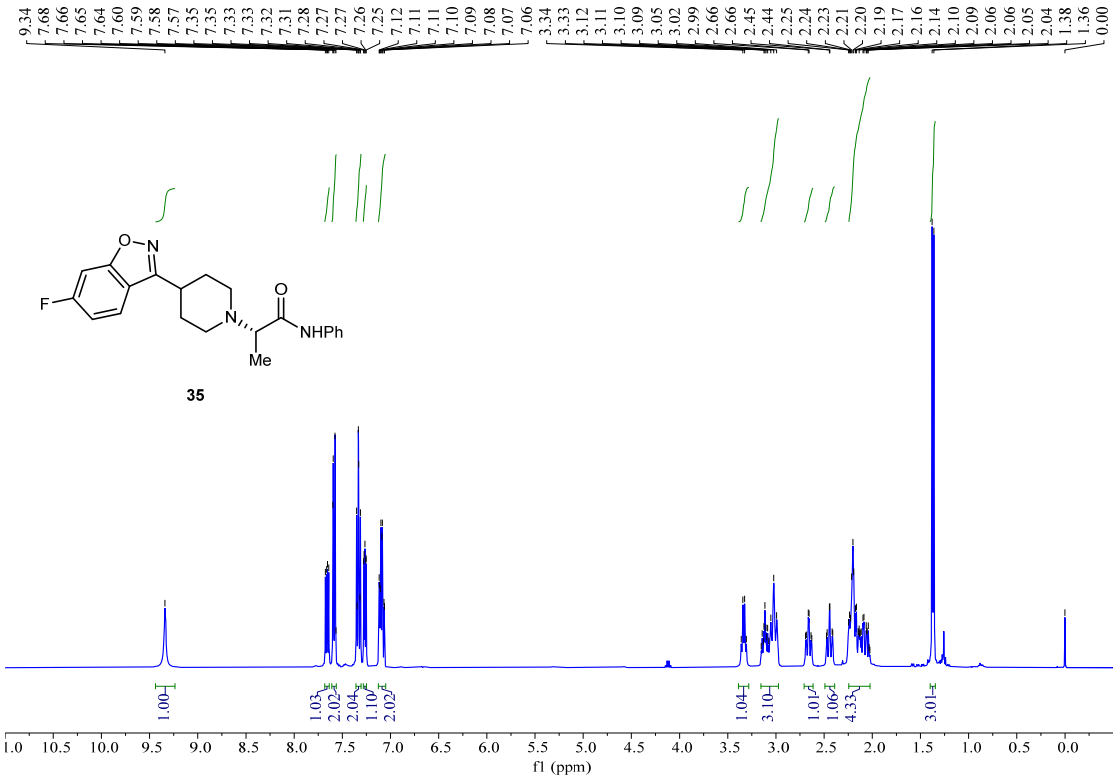
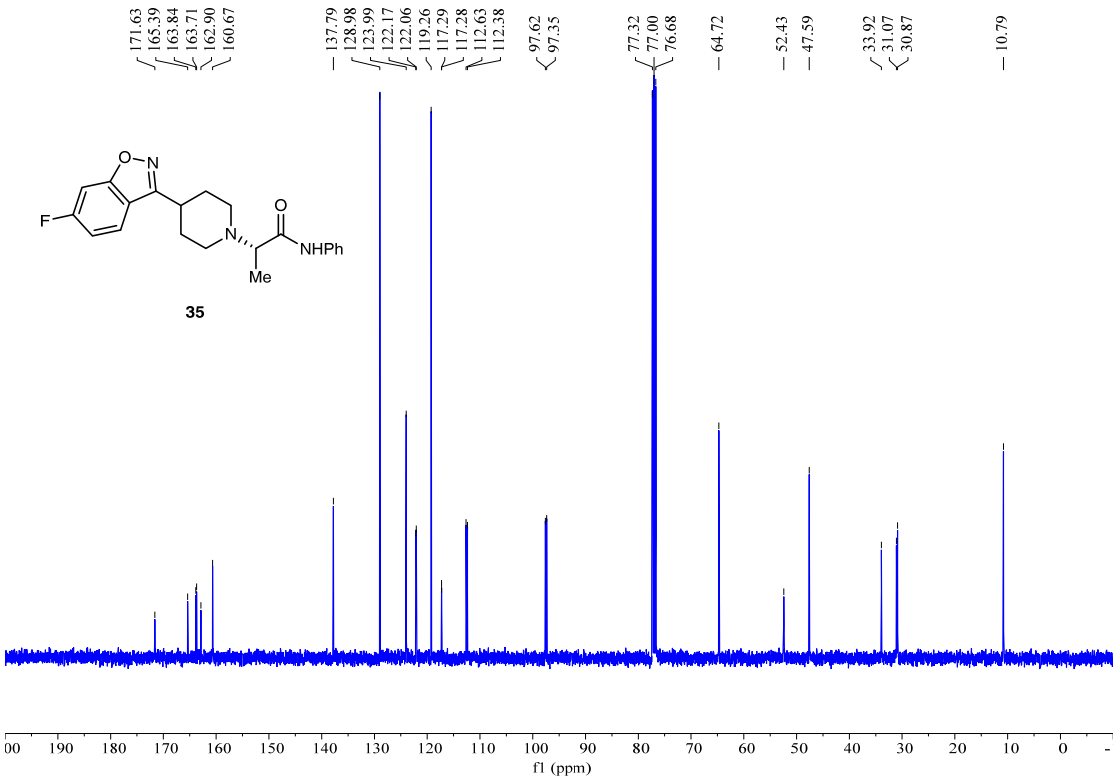
10.78
HN
O
N
NHPh
Me
34
f1 (ppm)


9.34 7.68 7.66 7.65 7.64 7.60 7.59 7.58 7.57 7.35 7.35 7.33 7.33 7.32 7.31 7.28 7.27 7.27 7.26 7.25 7.12 7.11 7.11 7.10 7.09 7.08 7.07 7.06
3.34 3.33 3.12 3.11 3.10 3.09 3.05 3.02 2.99 2.66 2.66 2.45 2.44 2.25 2.24 2.23 2.21 2.20 2.19 2.17 2.16 2.14 2.10 2.09 2.06 2.06 2.05 2.04 1.38 1.36 0.00
O
N
F
O
N
NHPh
Me
35
1.00
1.03
2.02
2.04
1.10
2.02
1.04
3.10
1.01
1.06
4.33
3.01
f1 (ppm)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137.79 128.98 123.99 122.17 122.06 119.26 117.29 117.28 112.63 112.38
97.62 97.35
77.32 77.00 76.68
64.72
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33.92 31.07 30.87
10.79
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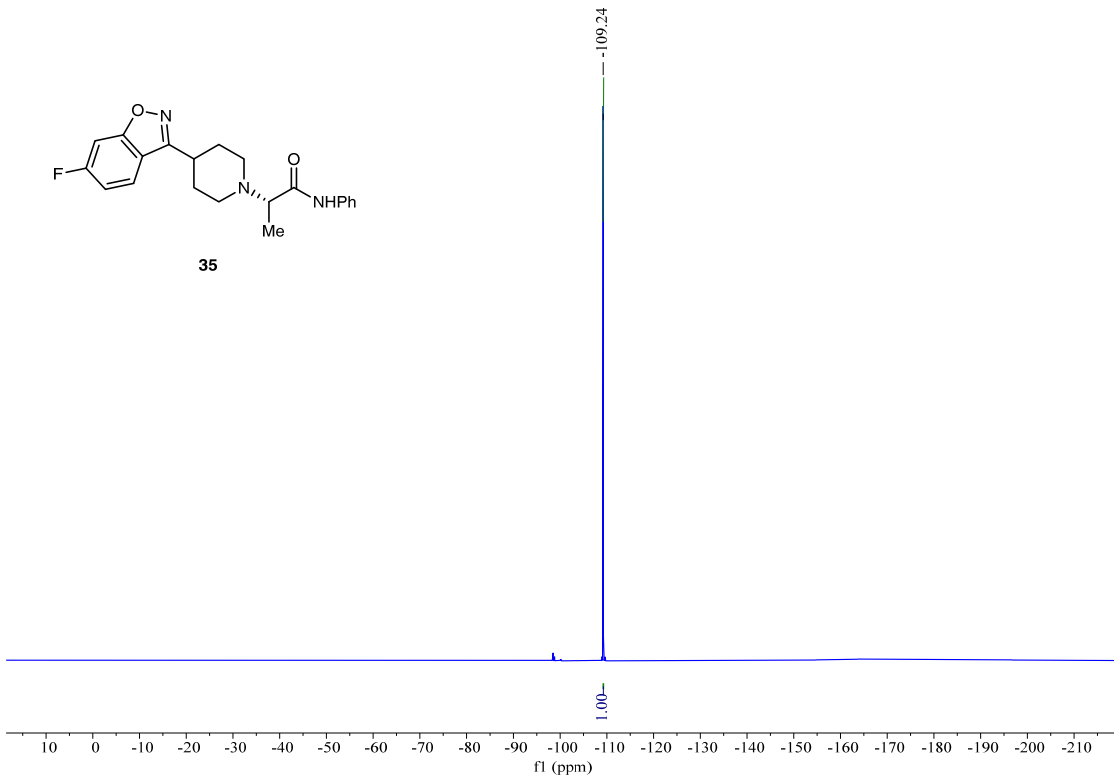
F
O
N
NHPh
Me
35
f1 (ppm)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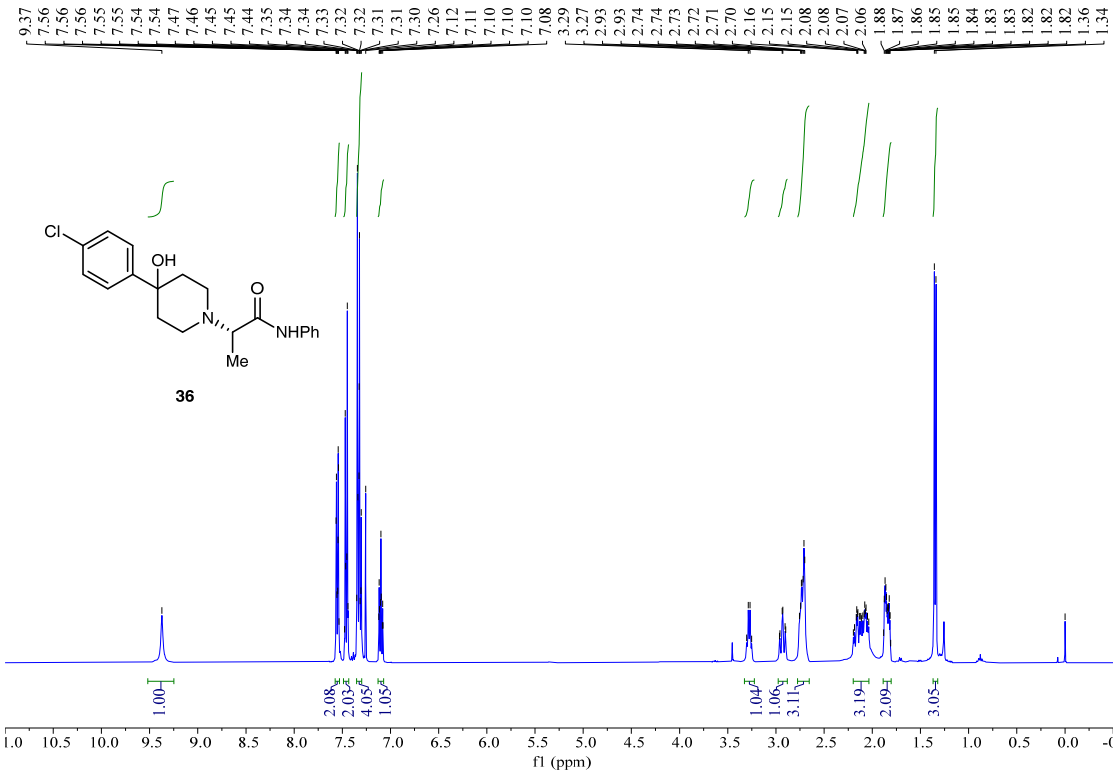
f1 (ppm)

35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Cl
OH
O
N
NHPh
Me
36
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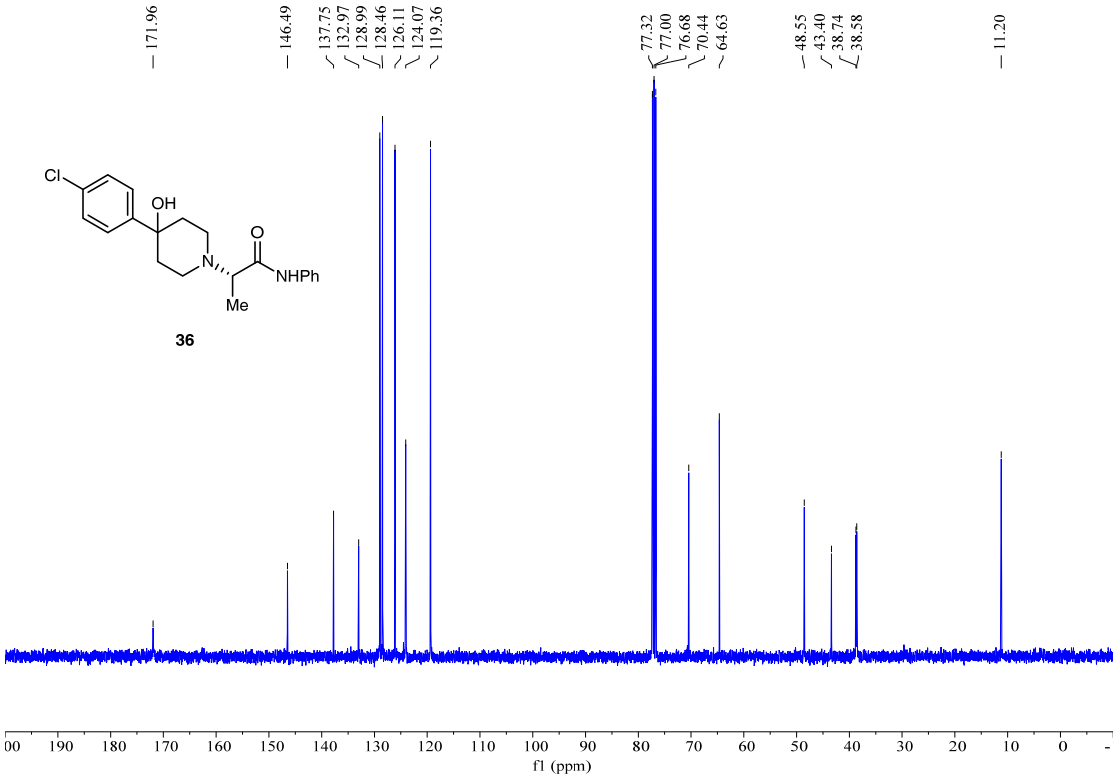
f1 (ppm)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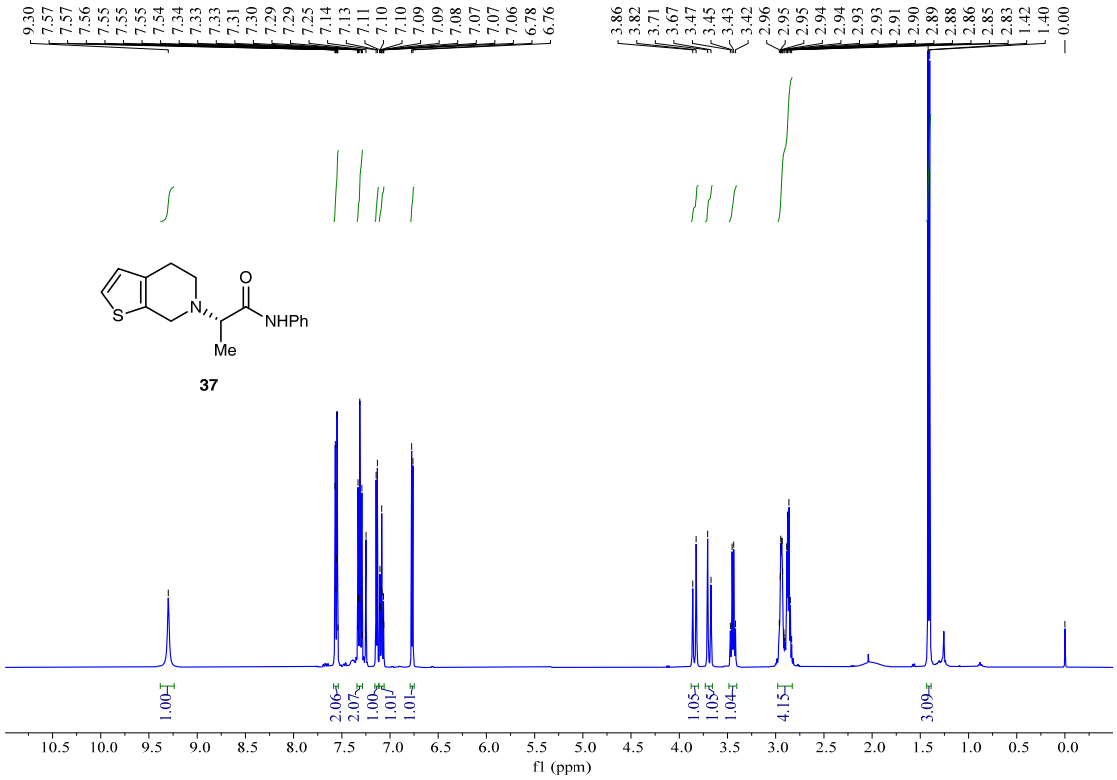
Cl
OH
O
N
NHPh
Me
36
f1 (ppm)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7.54
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7.31
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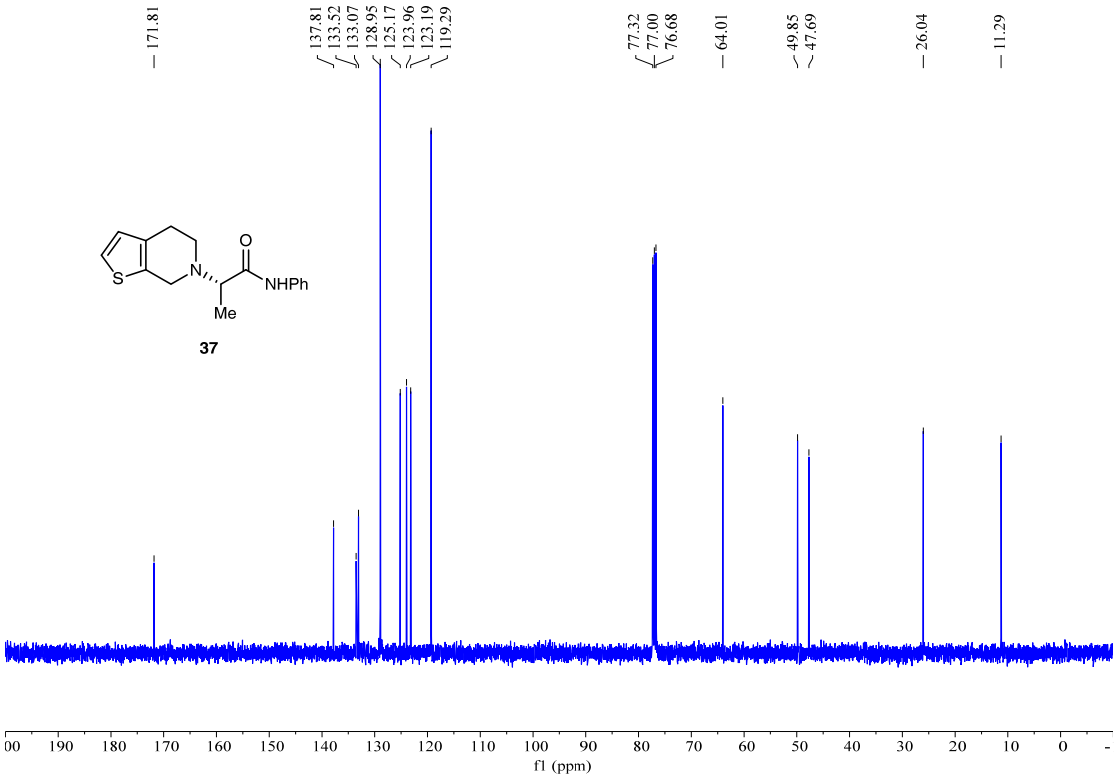
7.29
7.25
7.14
7.13
7.11
7.10
7.10
7.09
7.09
7.08
7.07
7.07
7.06
6.78
6.76
3.86
3.82
3.71
3.67
3.47
3.45
3.43
3.42
2.96
2.95
2.95
2.94
2.94
2.93
2.93
2.91
2.90
2.89
2.88
2.86
2.85
2.83
1.42
1.40
0.00
S
N
O
NHPh
Me
37
1.00
2.06
2.07
1.00
1.01
1.01
1.05
1.05
1.04
4.15
3.09
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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137.81
133.52
133.07
128.95
125.17
123.96
123.19
119.29
77.32
77.00
76.68
64.01
49.85
47.69
26.04
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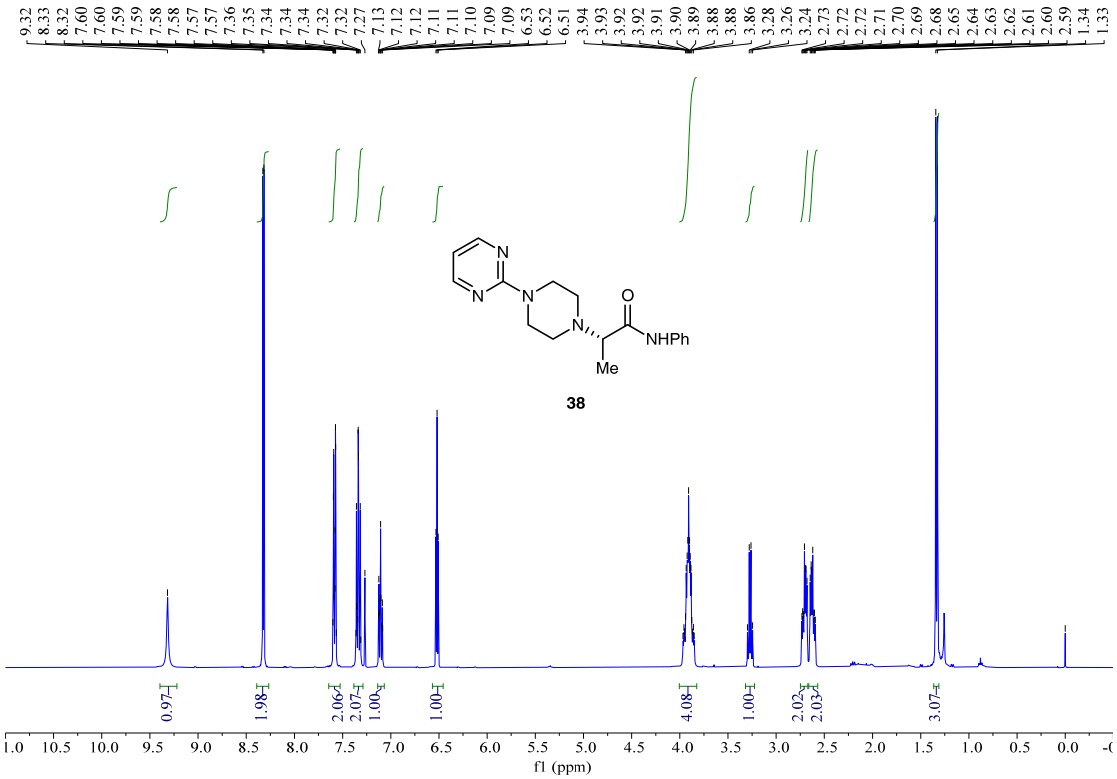
S
N
O
NHPh
Me
37
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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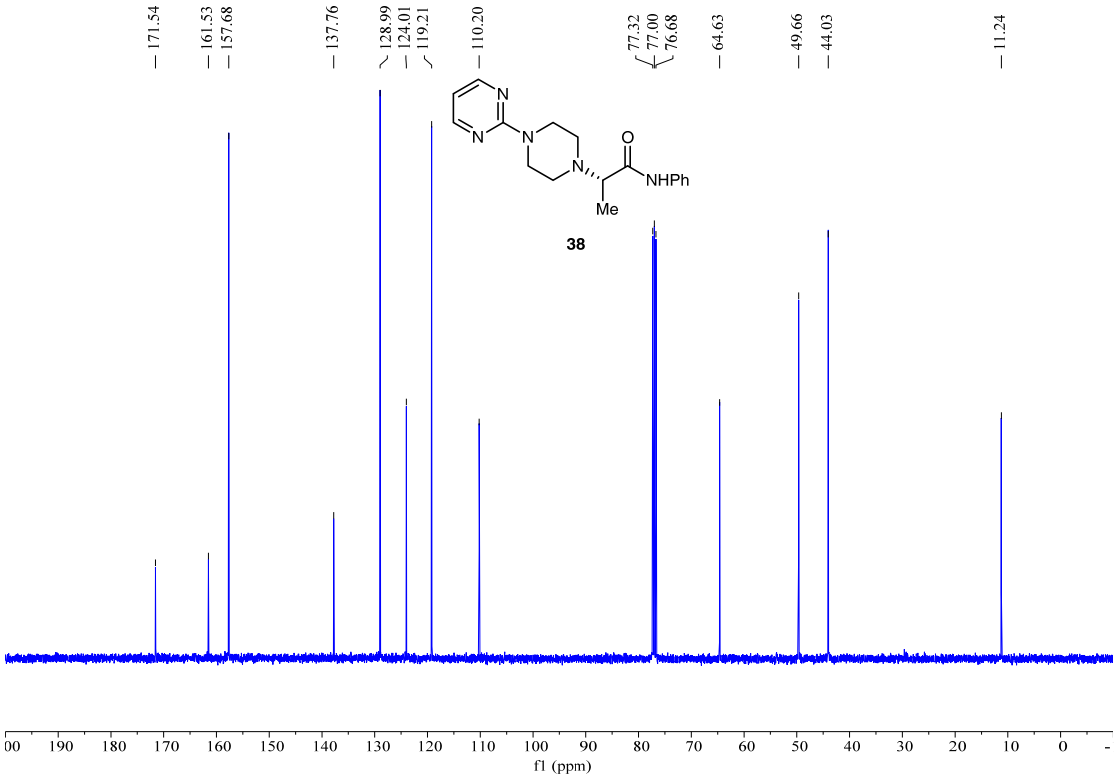
N
N
N
N
O
NHPh
Me
38
0.97 1.98 2.06 2.07 1.00 1.00 4.08 1.00 2.02 2.03 3.07
f1 (ppm)


171.54 161.53 157.68 137.76 128.99 124.01 119.21 110.20 77.32 77.00 76.68 64.63 49.66 44.03 11.24
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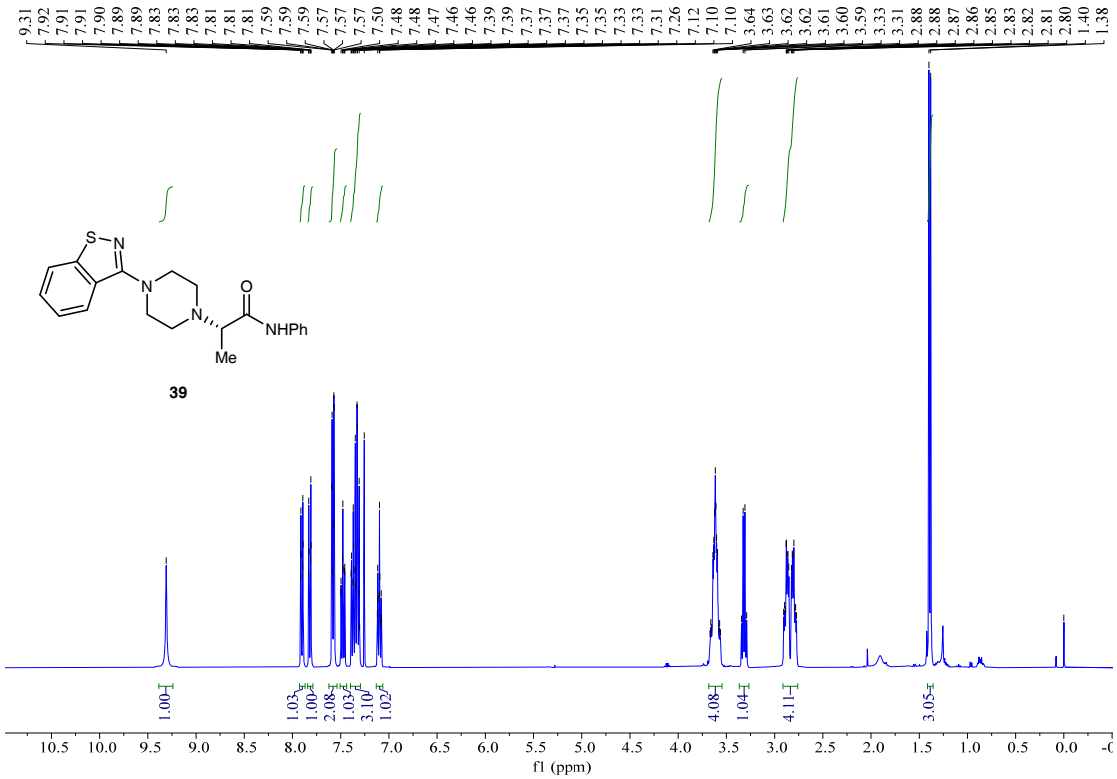
N
N
N
O
NHPh
Me
38
f1 (ppm)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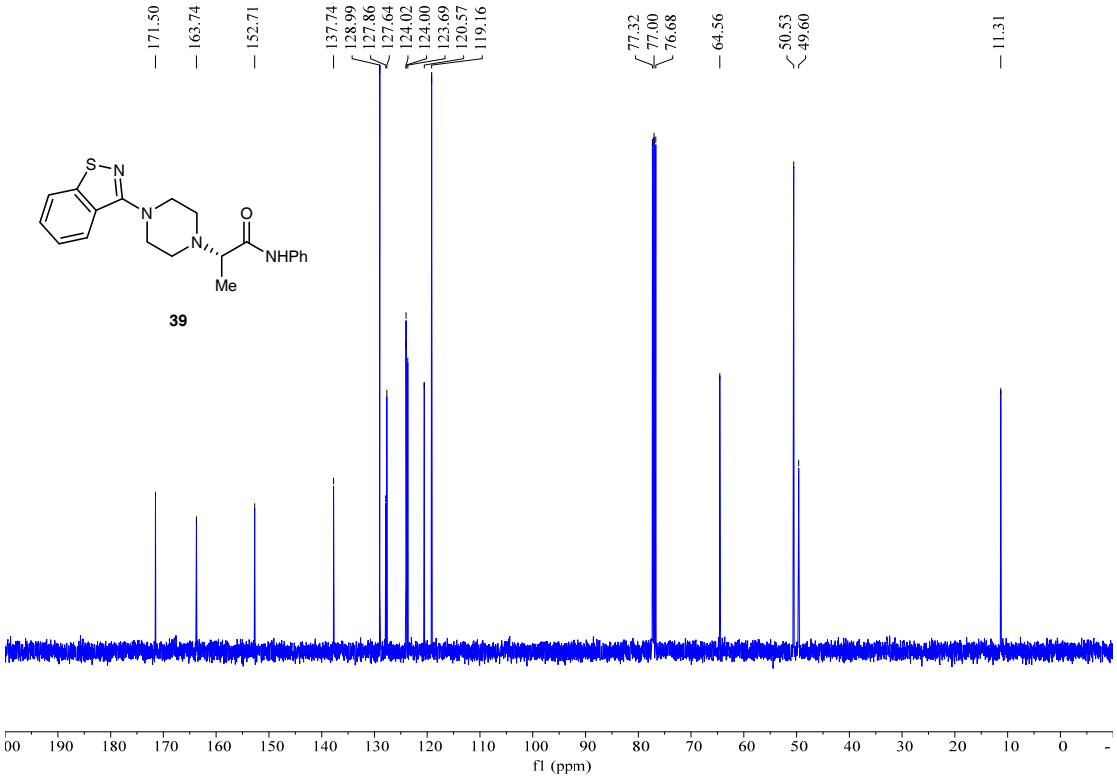
S
N
N
N
O
NHPh
Me
39
1.00 1.03 1.00 2.08 1.03 3.10 1.02 4.08 1.04 4.11 3.05
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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S
N
N
N
O
NHPh
Me
39
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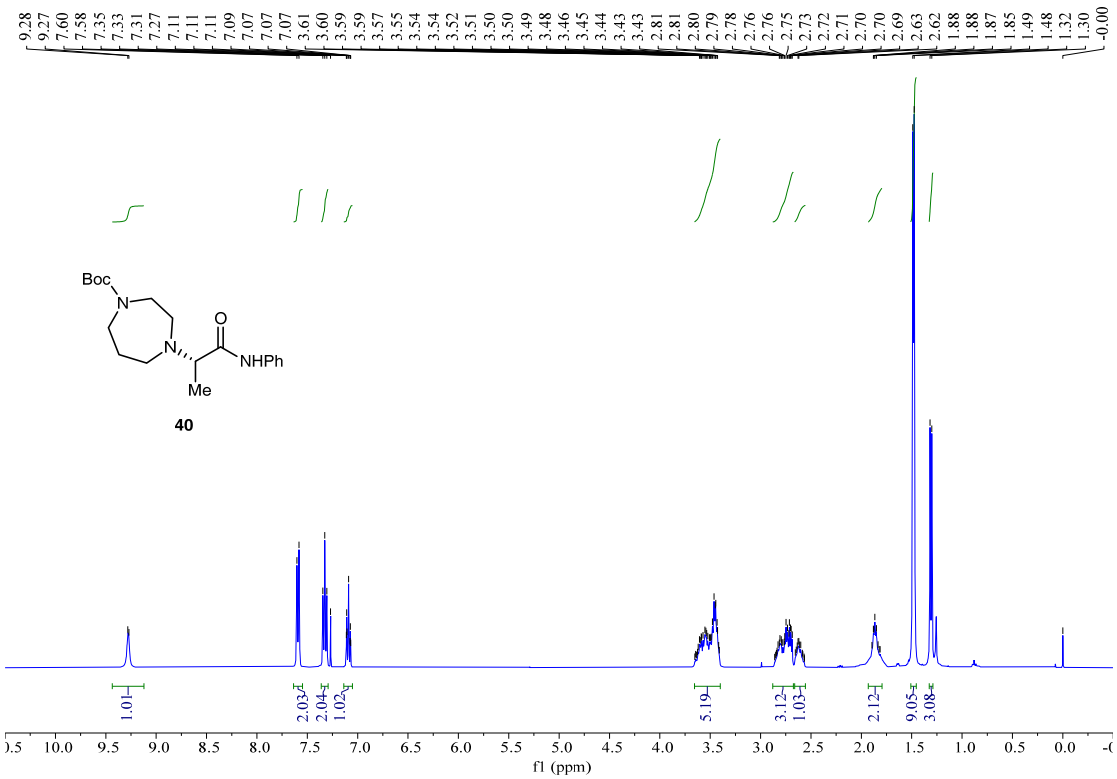
f1 (ppm)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7.27
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7.11
7.11
7.09
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7.07
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3.57
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3.52
3.51
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3.46
3.45
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2.62
1.88
1.88
1.87
1.85
1.49
1.48
1.32
1.30
-0.00
Boc
N
N
O
NHPh
Me
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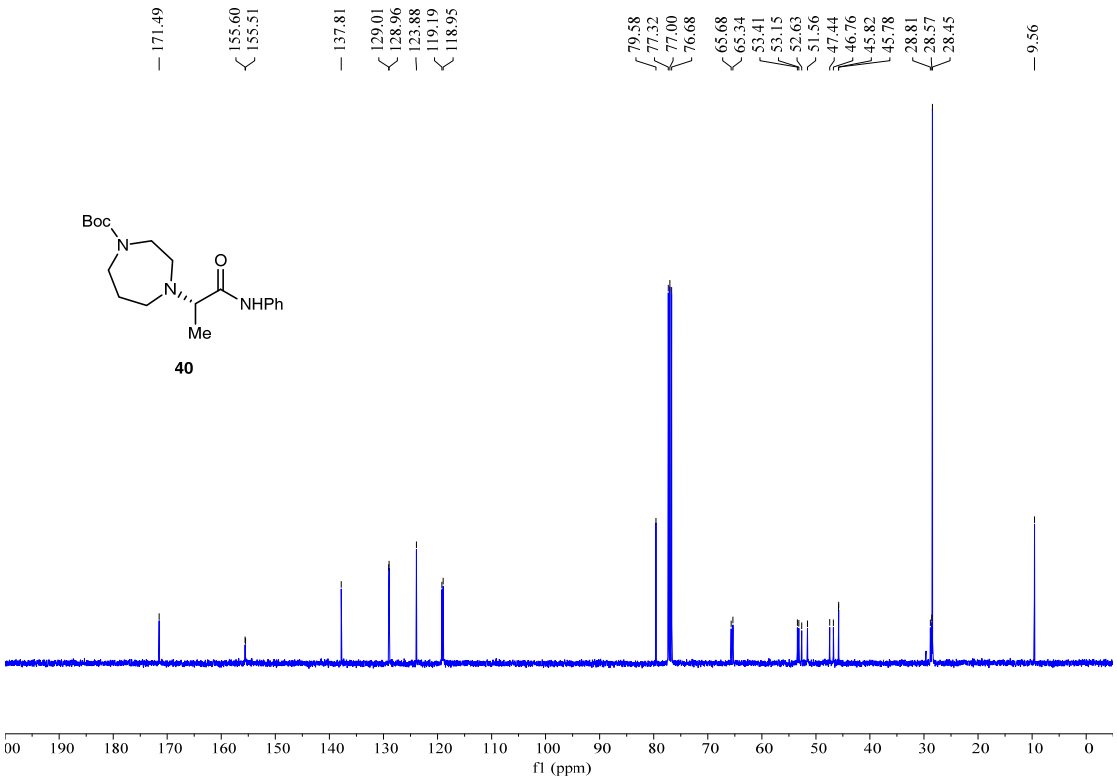
2.04
1.02
5.19
3.12
1.03
2.12
9.05
3.08
f1 (ppm)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155.51
137.81
129.01
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123.88
119.19
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79.58
77.32
77.00
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65.68
65.34
53.41
53.15
52.63
51.56
47.44
46.76
45.82
45.78
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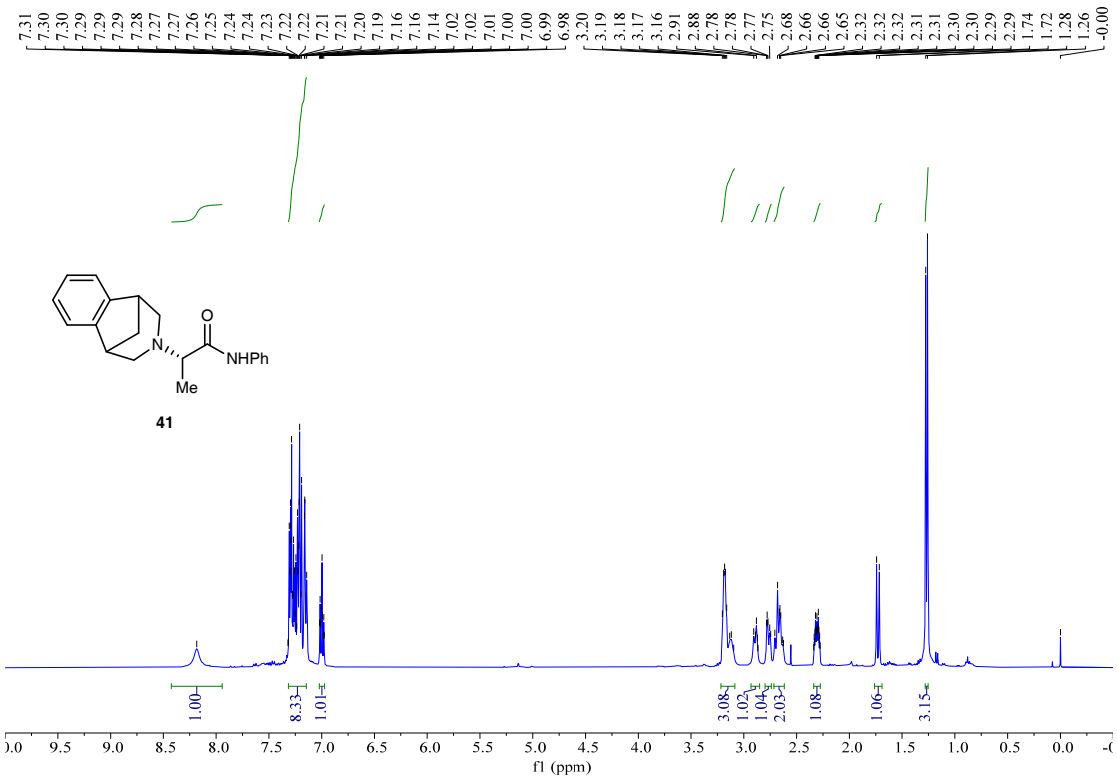
28.57
28.45
9.56
Boc
N
N
O
NHPh
Me
40
f1 (ppm)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O
NHPh
N
Me
41
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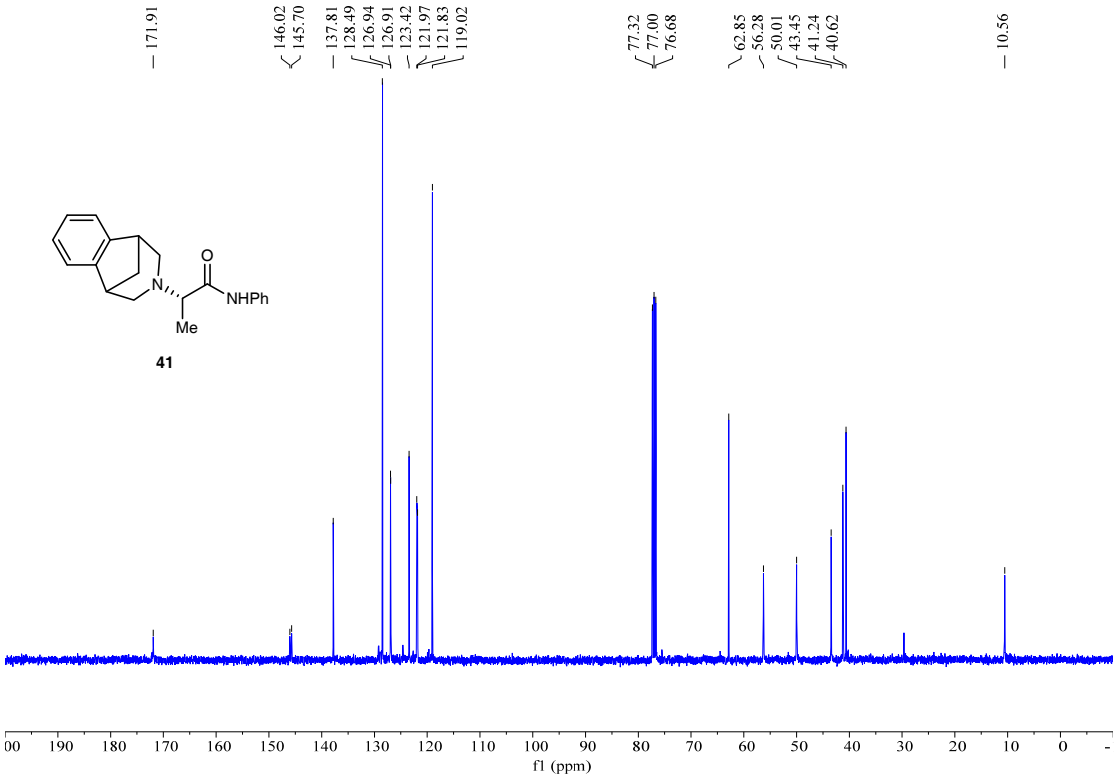
f1 (ppm)


171.91
146.02 145.70 137.81 128.49 126.94 126.91 123.42 121.97 121.83 119.02
77.32 77.00 76.68
62.85 56.28 50.01 43.45 41.24 40.62
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O
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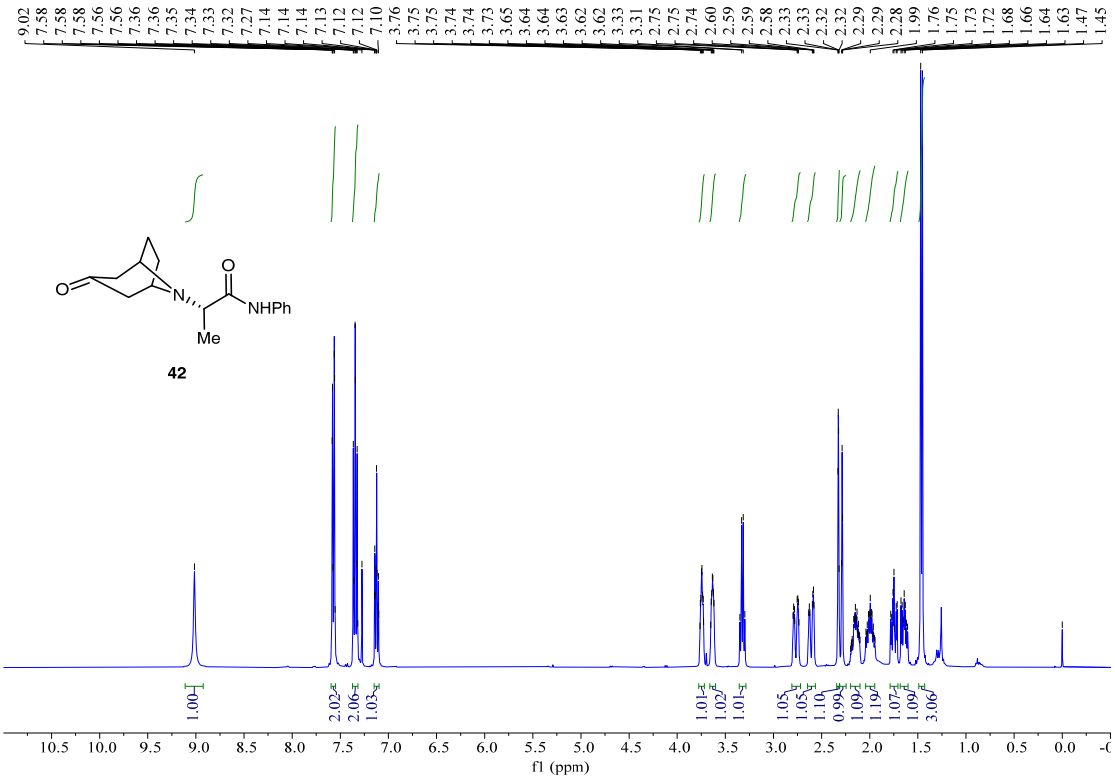
N
Me
41
f1 (ppm)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3.76 3.75 3.75 3.74 3.74 3.73 3.65 3.64 3.64 3.63 3.62 3.62 3.33 3.31 2.75 2.75 2.74 2.60 2.59 2.59 2.58 2.33 2.32 2.32 2.29 2.29 2.28 1.99 1.76 1.75 1.73 1.72 1.68 1.66 1.64 1.63 1.47 1.45
O
N
O
NHPh
Me
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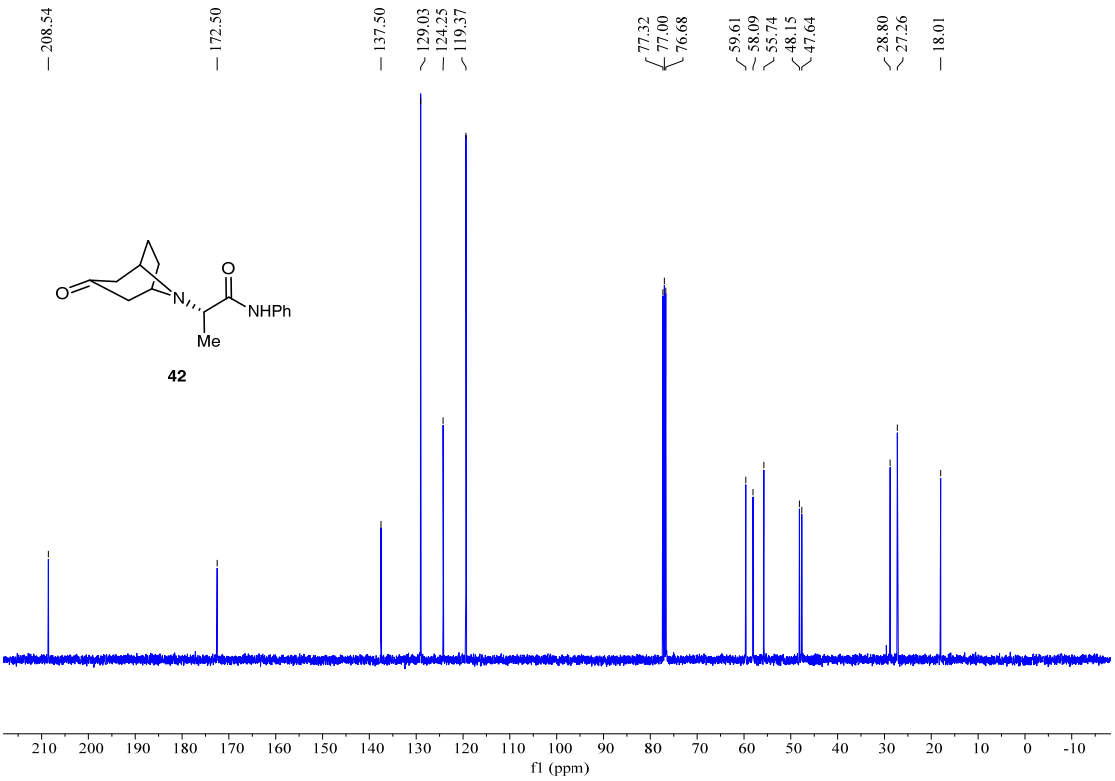
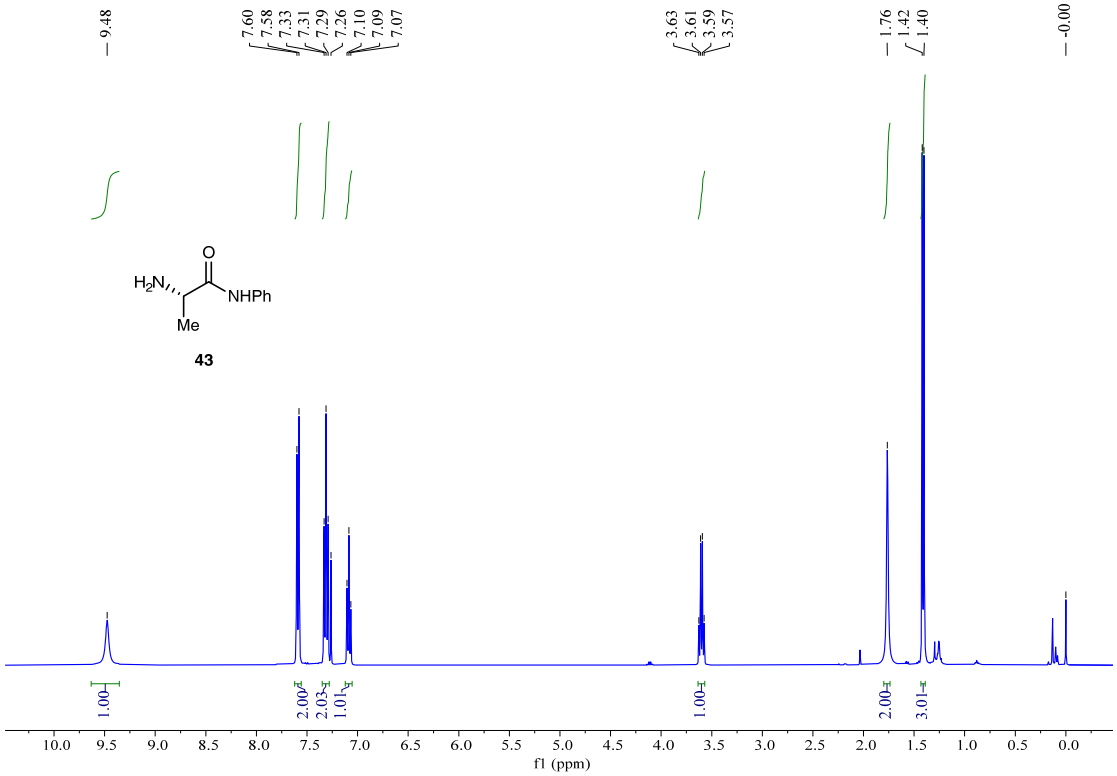
f1 (ppm)


208.54 172.50 137.50 129.03 124.25 119.37 77.32 77.00 76.68 59.61 58.09 55.74 48.15 47.64 28.80 27.26 18.01
O
N
O
NHPh
Me
42
f1 (ppm)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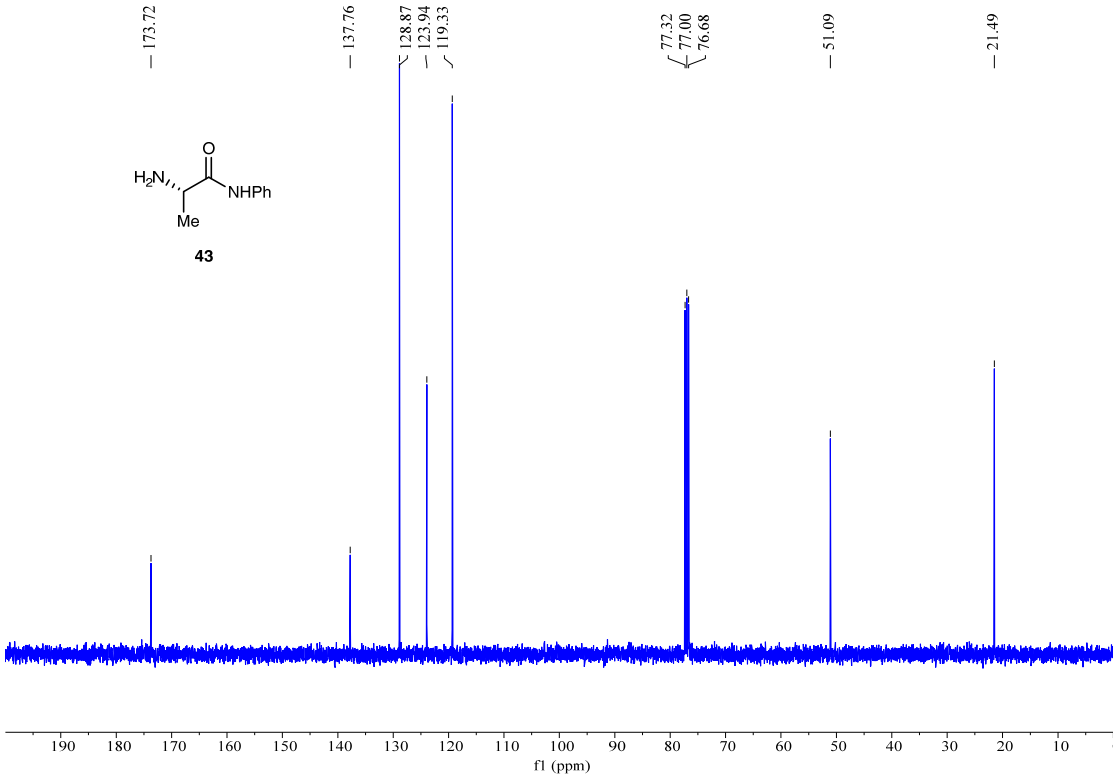
7.10
7.09
7.07
3.63
3.61
3.59
3.57
1.76
1.42
1.40
-0.00
O
H2N
NHPh
Me
43
1.00
2.00
2.03
1.01
1.00
2.00
3.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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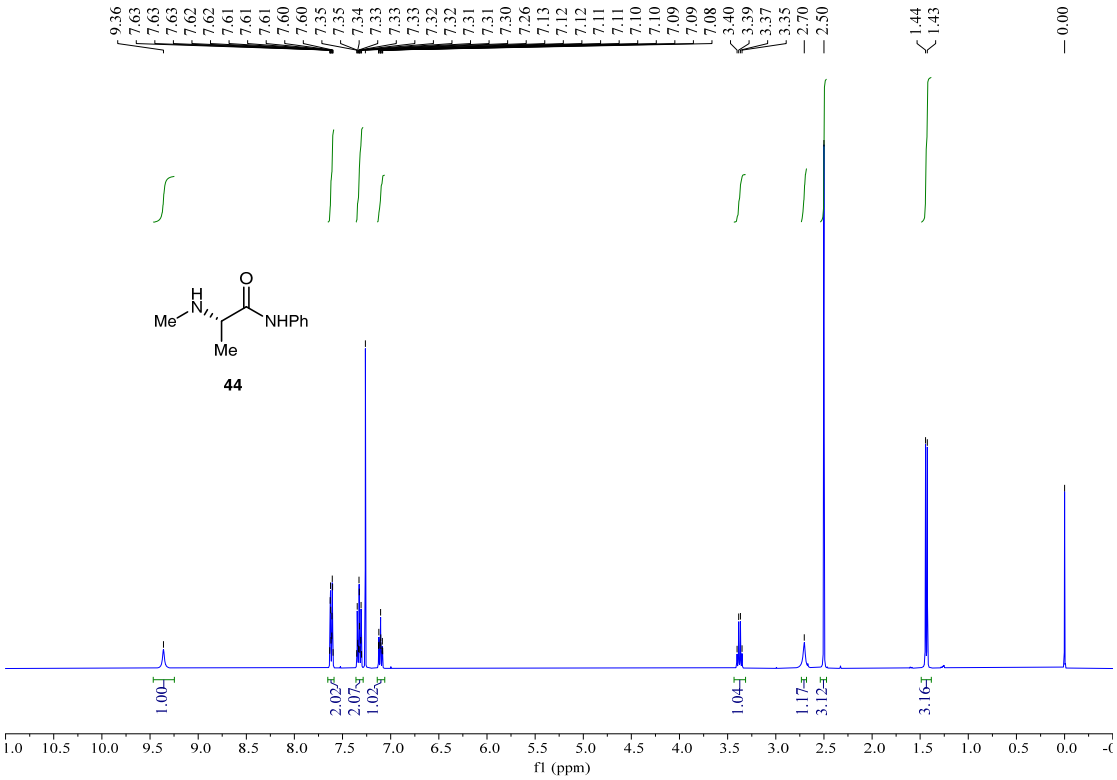
77.32
77.00
76.68
51.09
21.49
O
H2N
NHPh
Me
43
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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7.08
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3.37
3.35
2.70
2.50
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N
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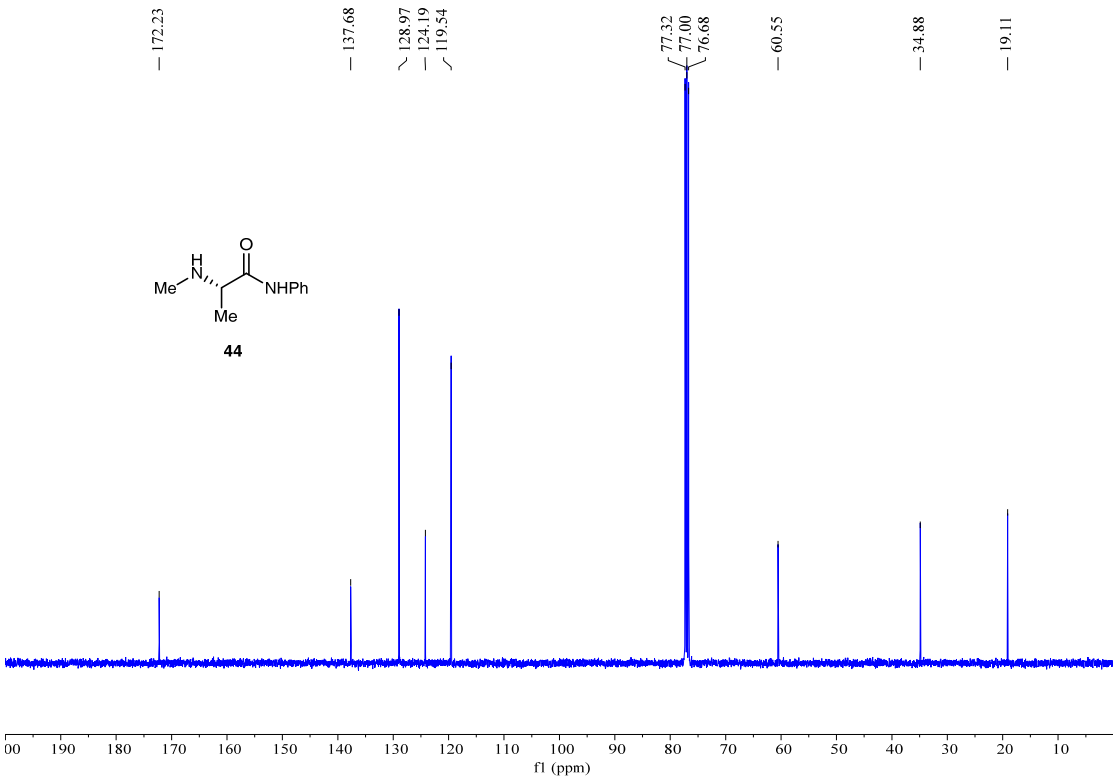
1.17
3.12
3.16
f1 (ppm)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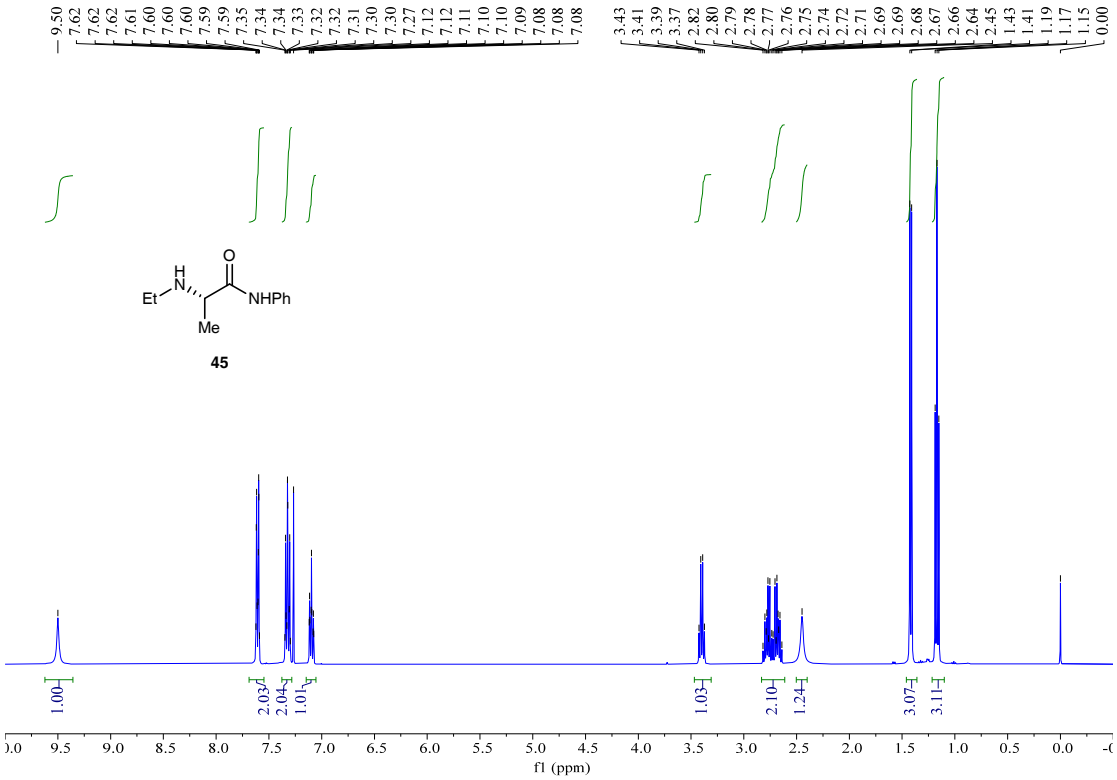
76.68
60.55
34.88
19.11
Me
N
H
O
NHPh
Me
44
f1 (ppm)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2.67
2.66
2.64
2.45
1.43
1.41
1.19
1.17
1.15
0.00
H
N
Et
Me
O
NHPh
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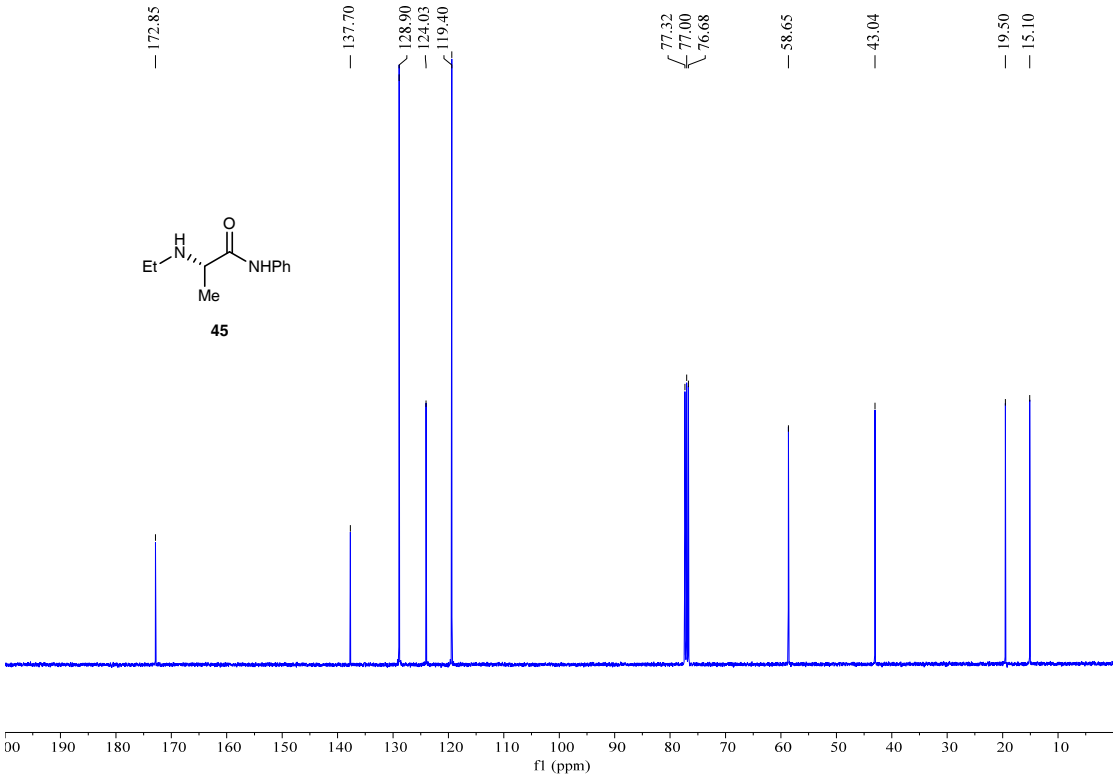
2.03
2.04
1.01
1.03
2.10
1.24
3.07
3.11
f1 (ppm)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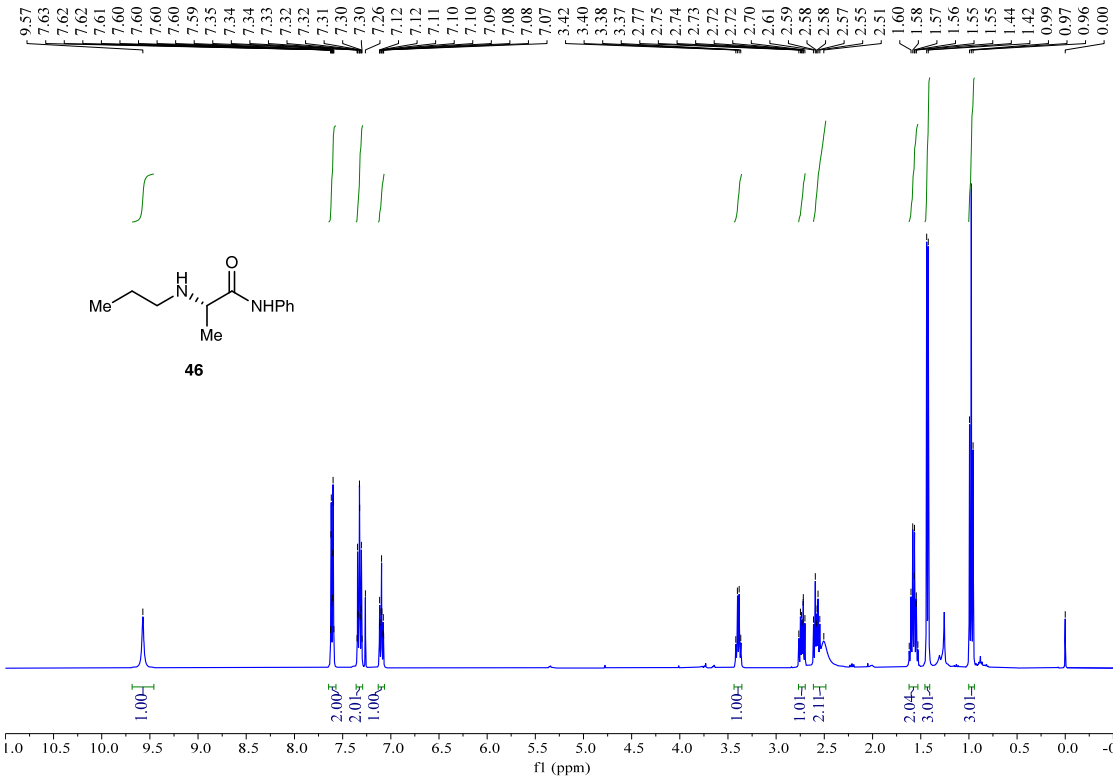
119.40
77.32
77.00
76.68
58.65
43.04
19.50
15.10
H
N
Et
Me
O
NHPh
45
f1 (ppm)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46
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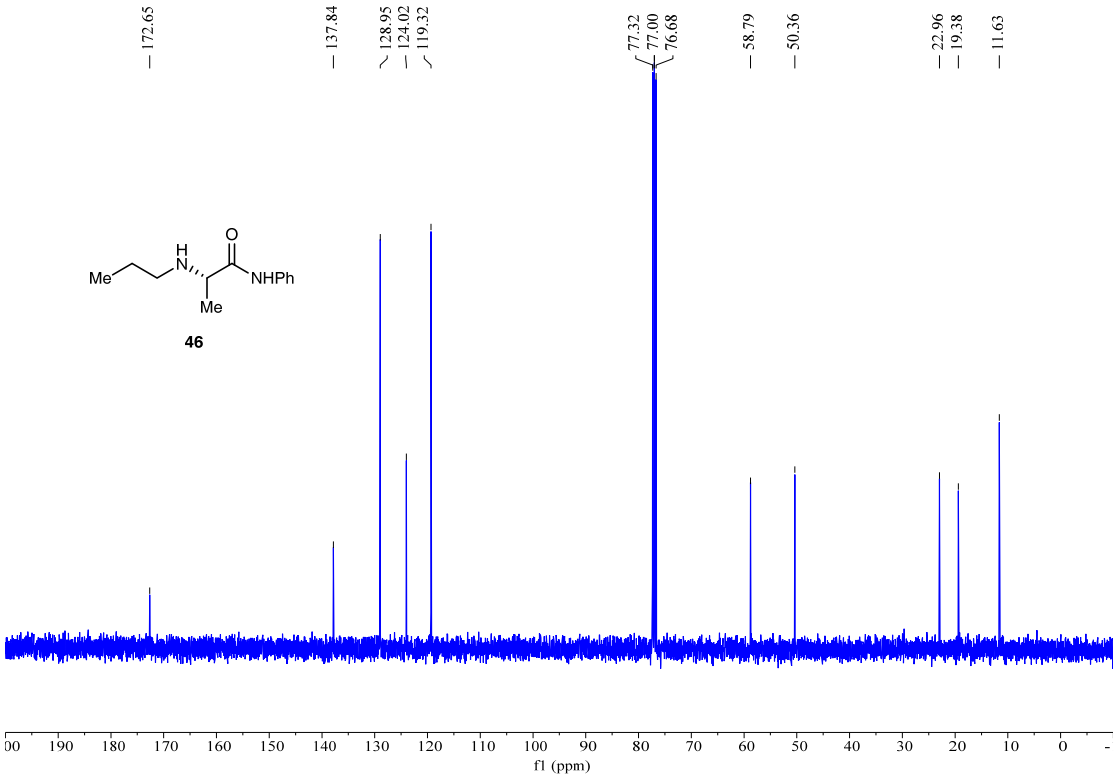
f1 (ppm)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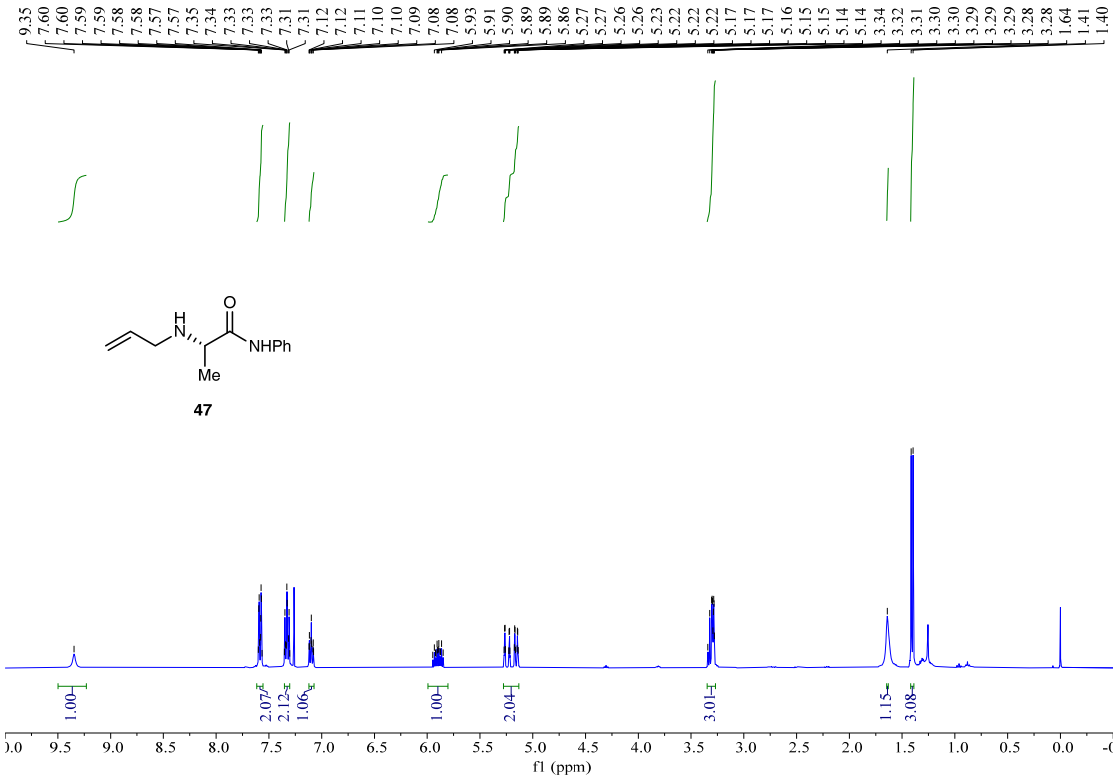
H
O
NHPh
Me
46
f1 (ppm)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H
N
O
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3.08
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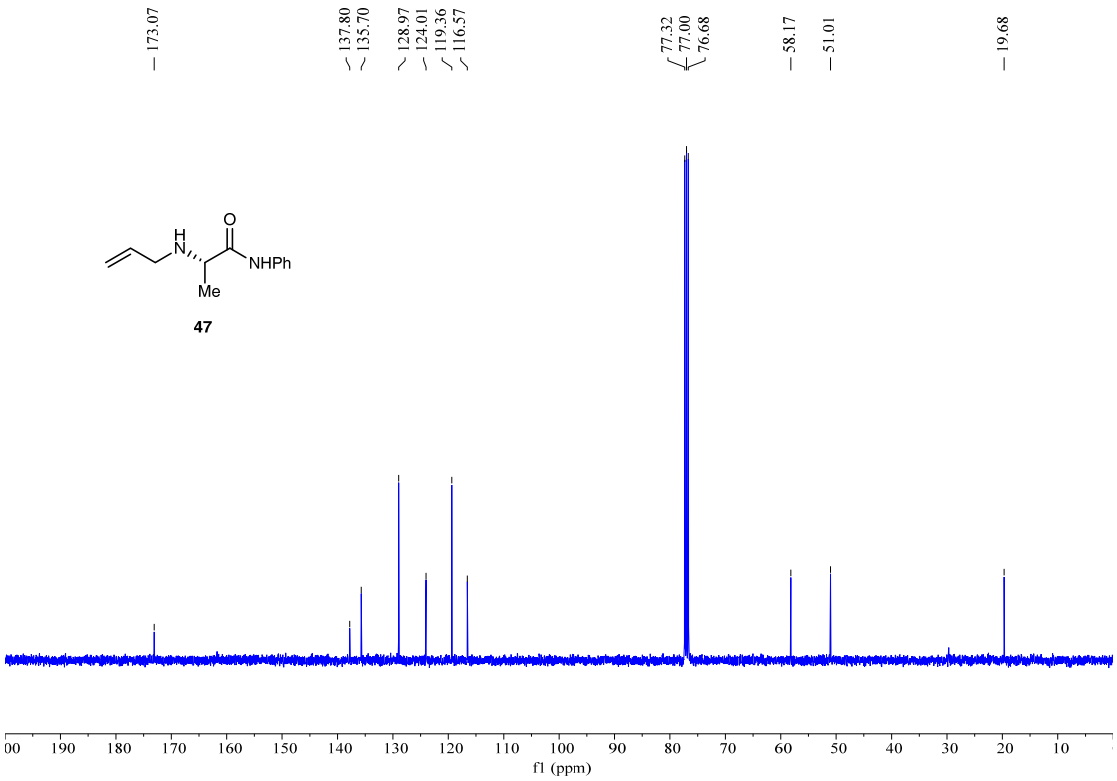
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N
O
NHPh
Me
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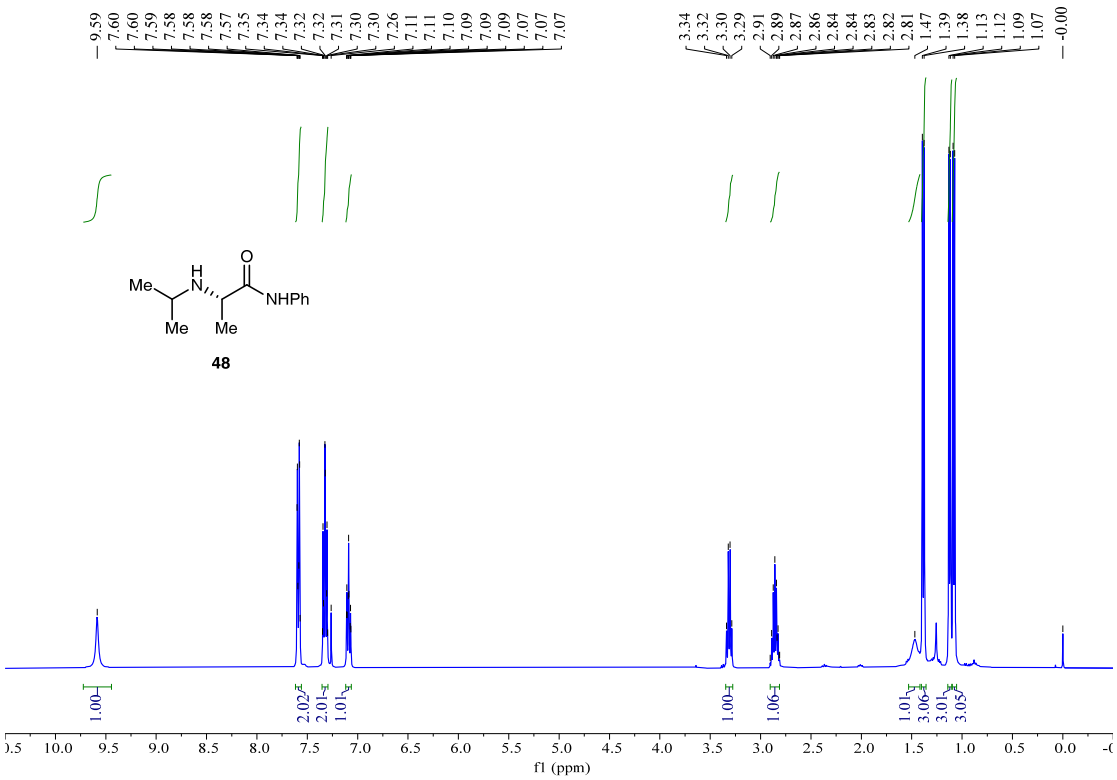
f1 (ppm)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7.09
7.07
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7.07
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3.29
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2.89
2.87
2.86
2.84
2.84
2.83
2.82
2.81
1.47
1.39
1.38
1.13
1.12
1.09
1.07
-0.00
Me
H
N
O
NHPh
Me
Me
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1.00
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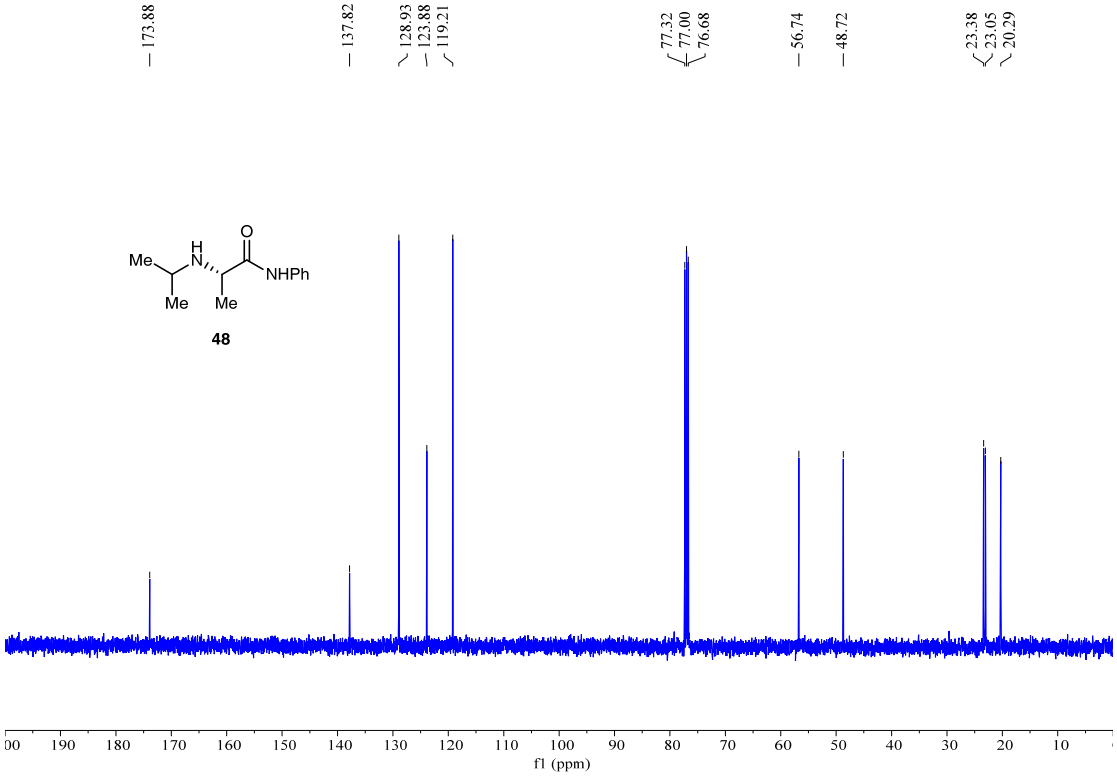
1.01
3.06
3.01
3.05
f1 (ppm)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23.38
23.05
20.29
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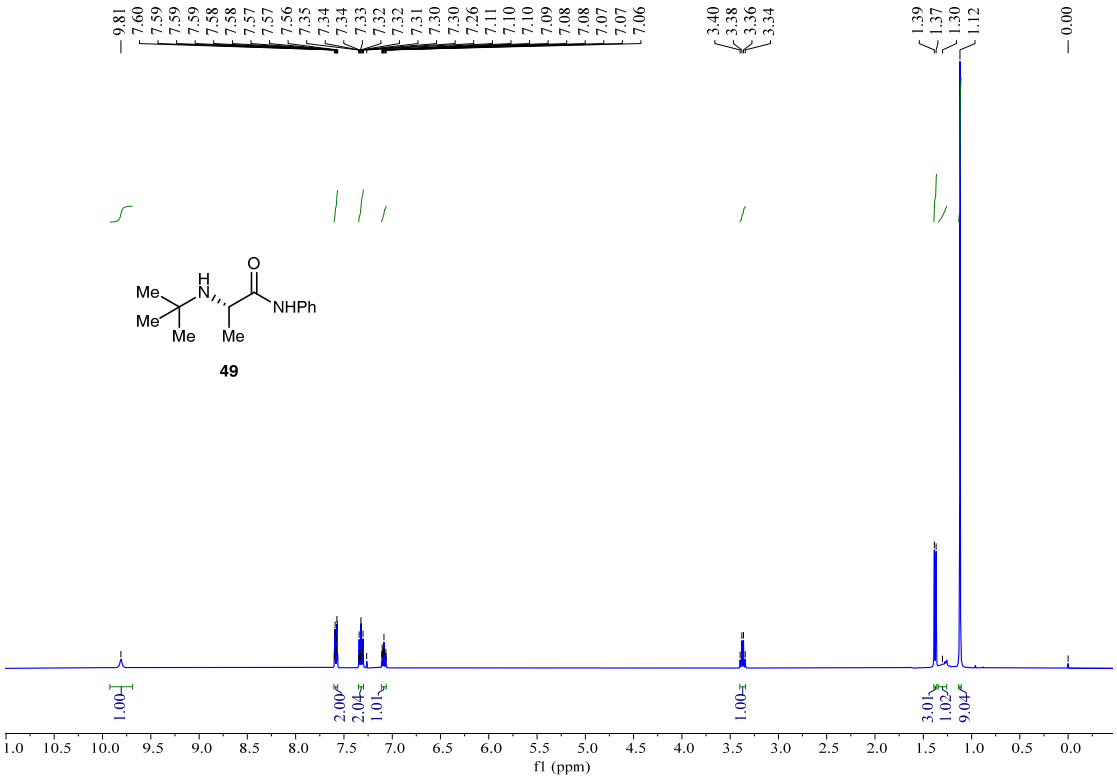
H
N
O
NHPh
Me
Me
48
f1 (ppm)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7.58
7.58
7.57
7.57
7.56
7.35
7.34
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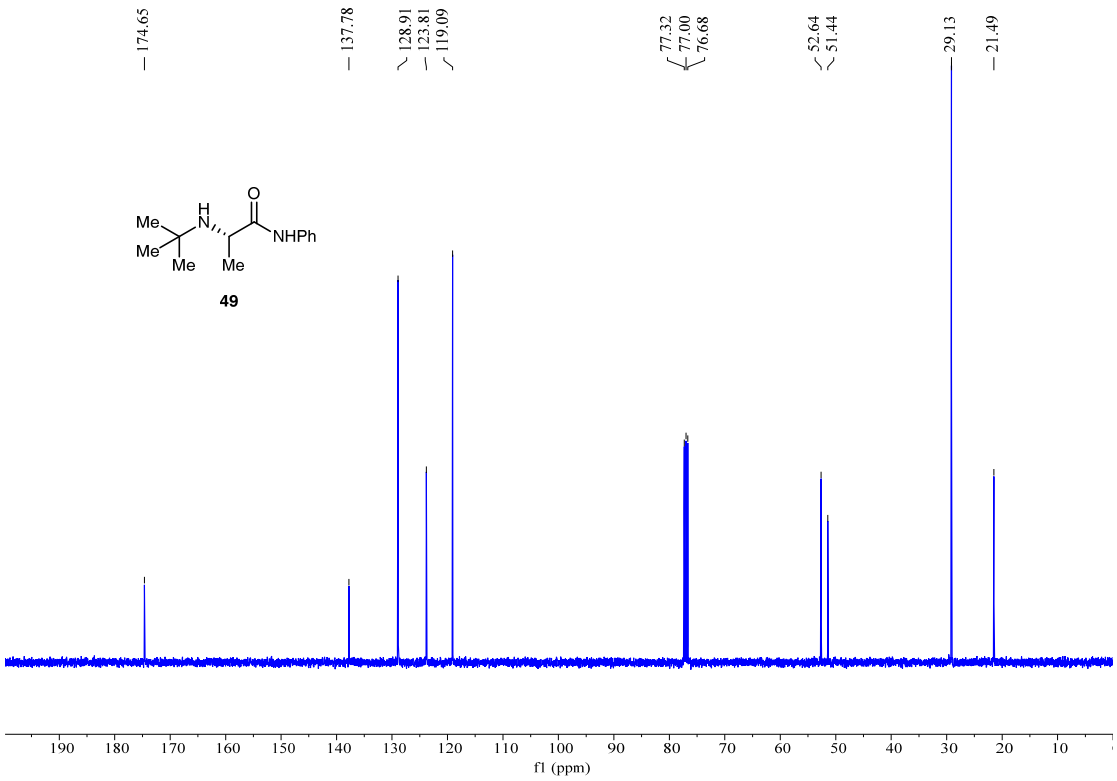
7.33
7.32
7.32
7.31
7.30
7.30
7.26
7.11
7.10
7.10
7.09
7.08
7.08
7.07
7.07
7.06
3.40
3.38
3.36
3.34
1.39
1.37
1.30
1.12
0.00
Me
Me
Me
H
N
O
NHPh
Me
49
1.00
2.00
2.04
1.01
1.00
3.01
1.02
9.04
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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123.81
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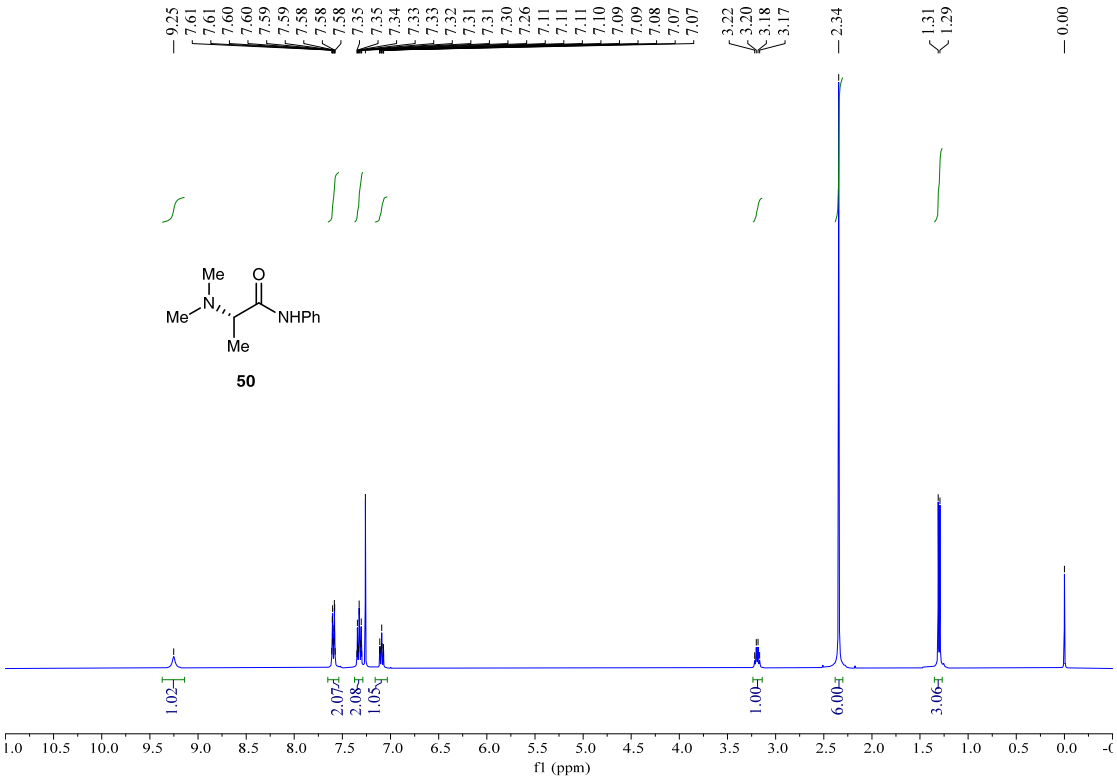
77.32
77.00
76.68
52.64
51.44
29.13
21.49
Me
Me
Me
H
N
O
NHPh
Me
49
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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7.61
7.60
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7.59
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7.58
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7.58
7.58
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7.34
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7.33
7.32
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7.30
7.26
7.11
7.11
7.11
7.10
7.09
7.09
7.08
7.07
7.07
3.22
3.20
3.18
3.17
2.34
1.31
1.29
0.00
Me
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N
Me
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Me
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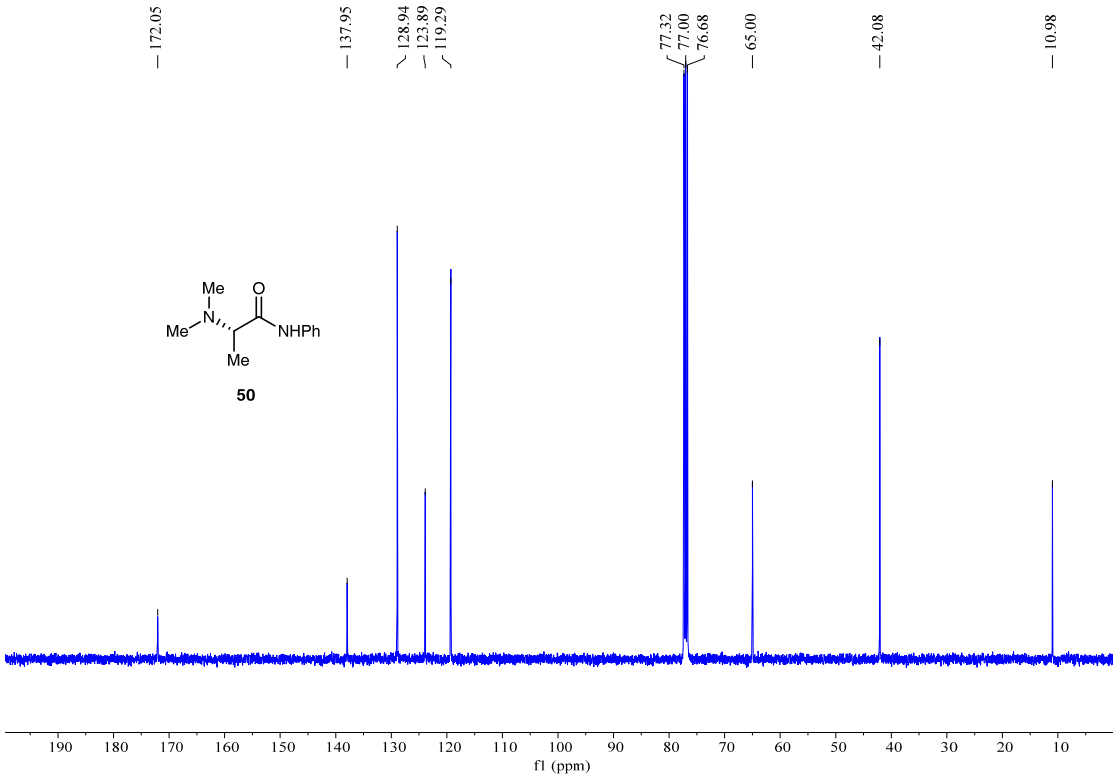
1.02
2.07
2.08
1.05
1.00
6.00
3.06
f1 (ppm)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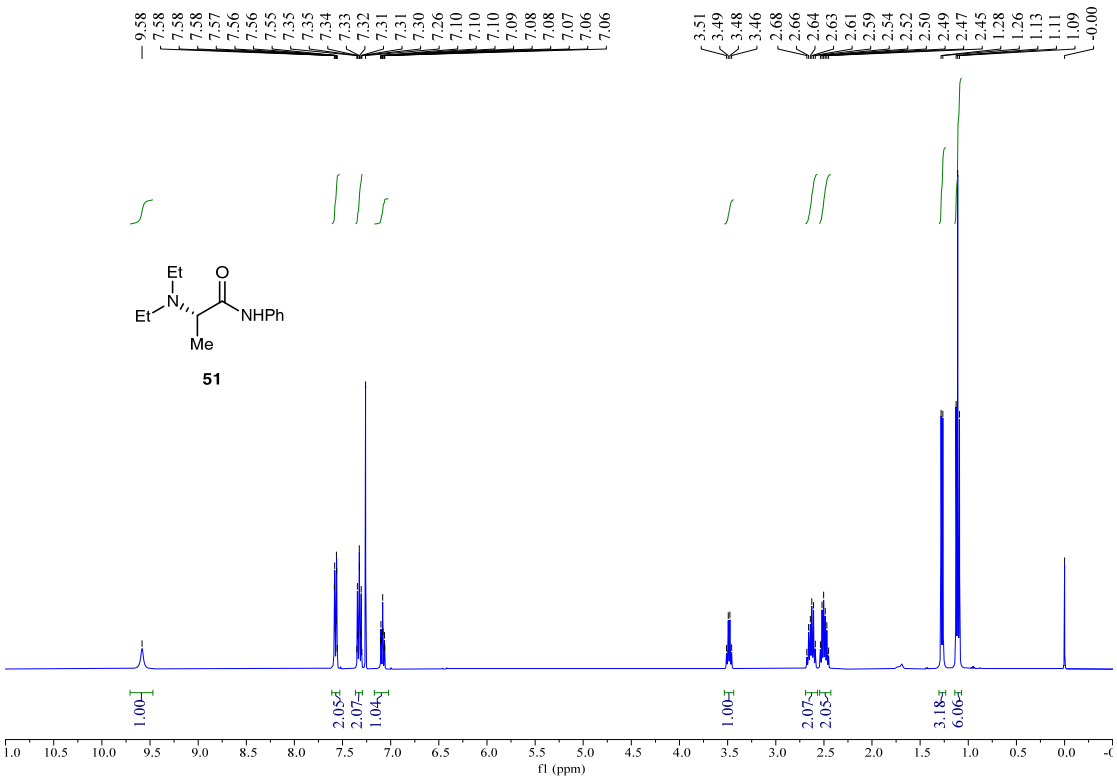
42.08
10.98
Me
O
N
Me
NHPh
Me
50
f1 (ppm)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7.31
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7.30
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7.10
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7.08
7.08
7.07
7.06
7.06
3.51
3.49
3.48
3.46
2.68
2.66
2.64
2.63
2.61
2.59
2.54
2.52
2.50
2.49
2.47
2.45
1.28
1.26
1.13
1.11
1.09
-0.00
Et
O
N
Et
NHPh
Me
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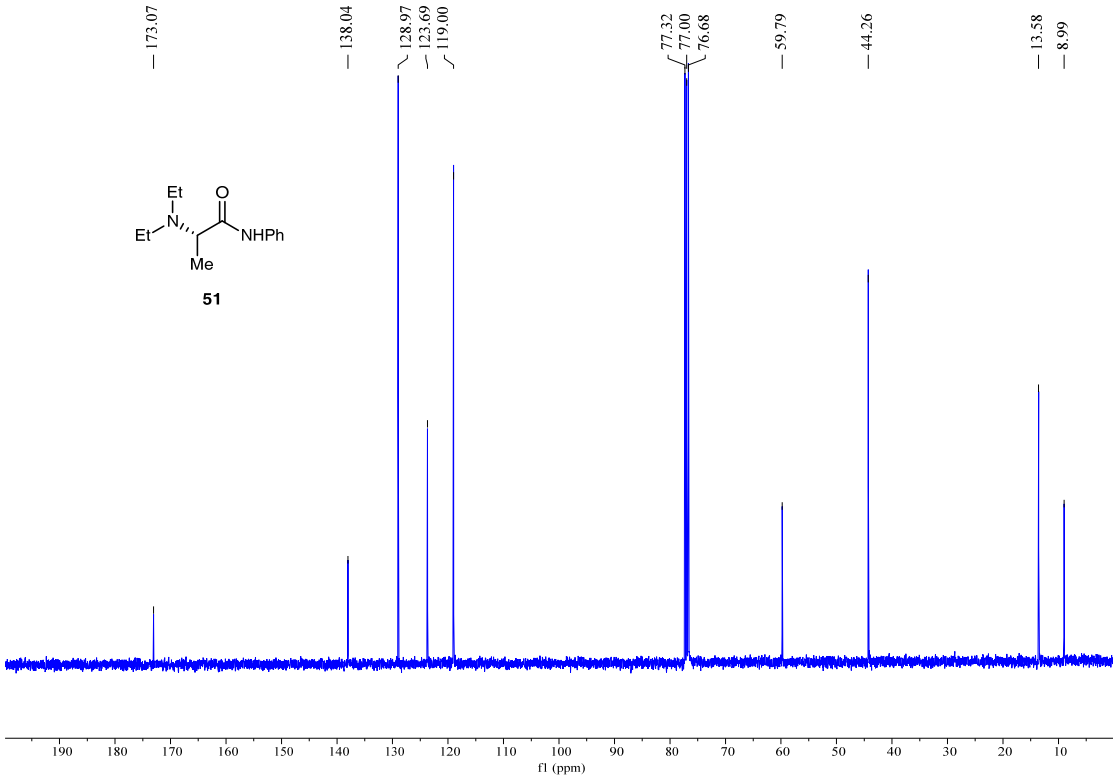
2.05
2.07
1.04
1.00
2.07
2.05
3.18
6.06
f1 (ppm)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119.00
77.32
77.00
76.68
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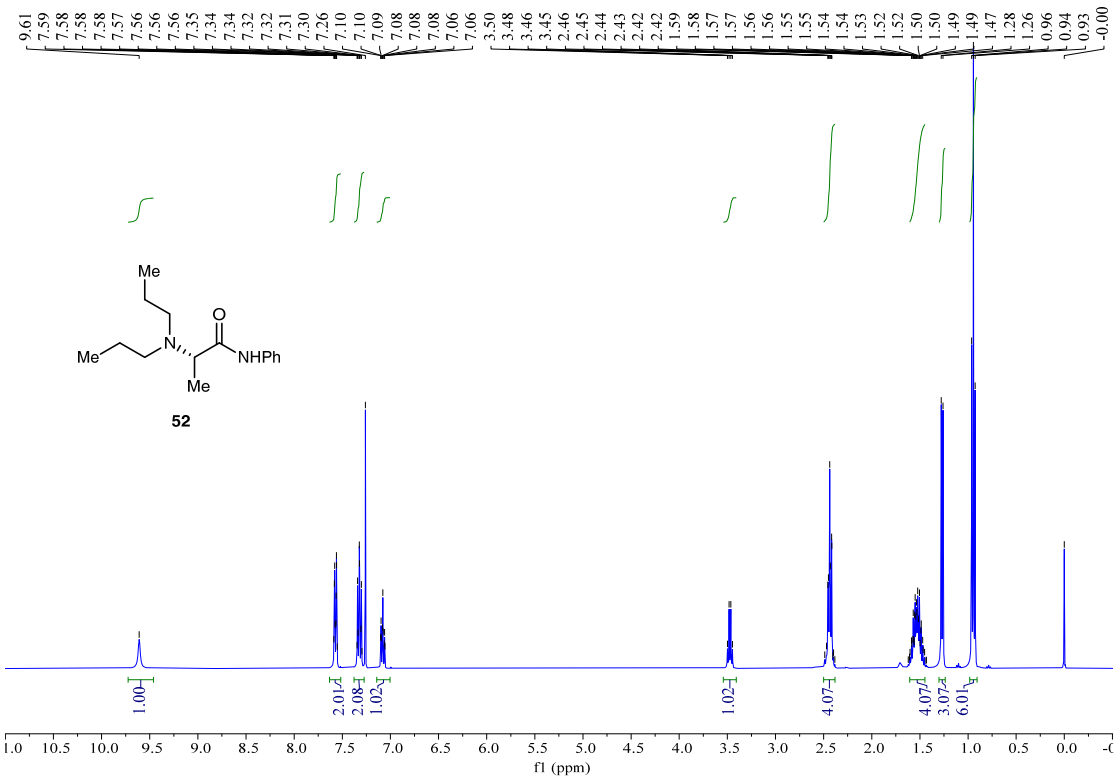
44.26
13.58
8.99
Et
O
N
Et
NHPh
Me
51
f1 (ppm)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3.50 3.48 3.46 3.45 2.46 2.45 2.44 2.43 2.42 2.42 1.59 1.58 1.57 1.57 1.56 1.56 1.55 1.55 1.54 1.54 1.53 1.52 1.52 1.50 1.50 1.49 1.49 1.47 1.28 1.26 0.96 0.94 0.93 -0.00
Me
N
O
NHPh
Me
Me
52
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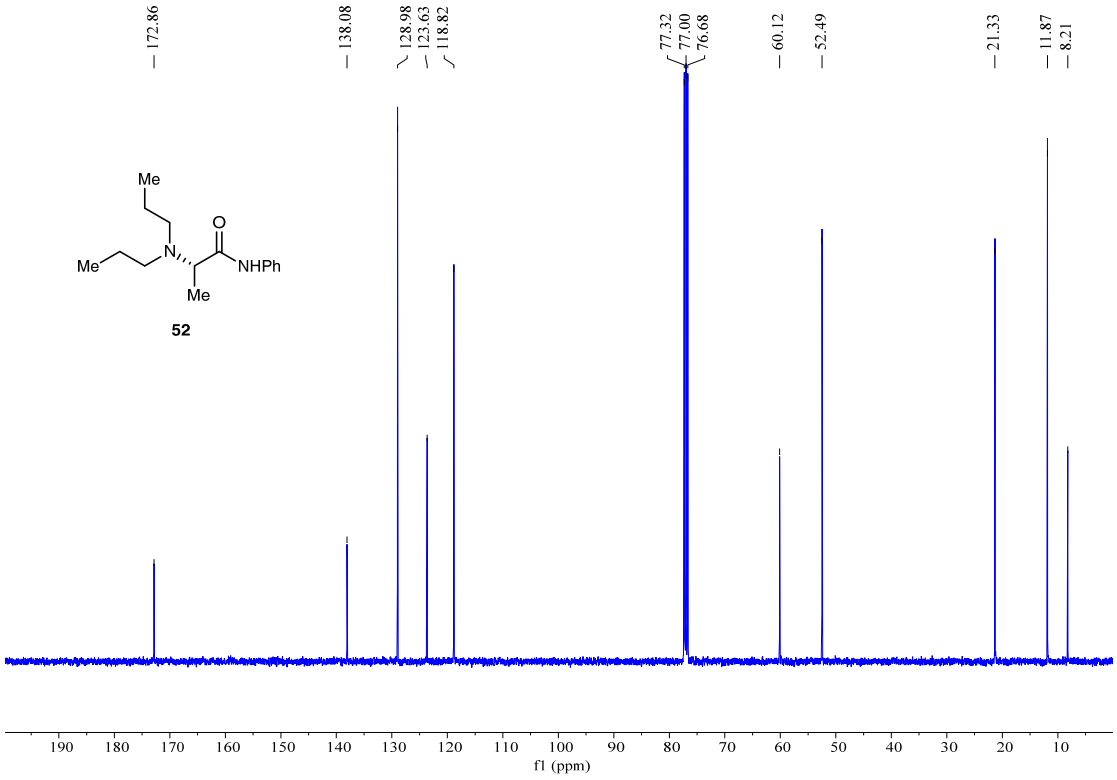
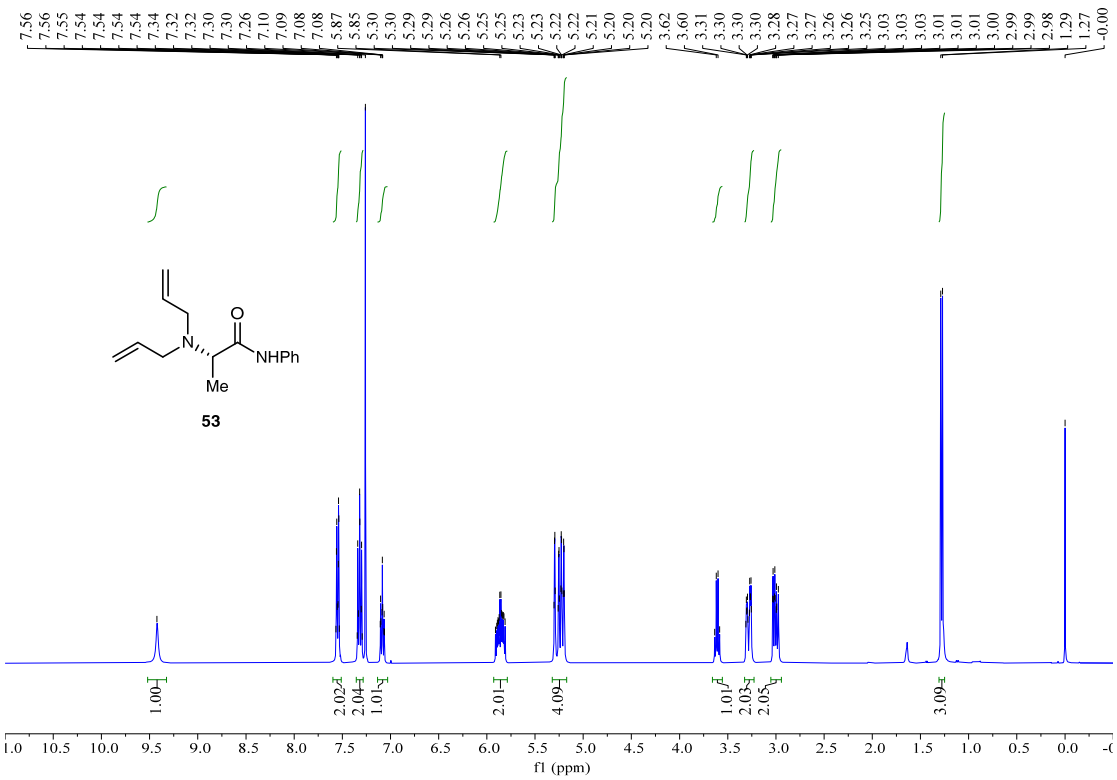
f1 (ppm)


172.86 138.08 128.98 123.63 118.82 77.32 77.00 76.68 60.12 52.49 21.33 11.87 8.21
Me
N
O
NHPh
Me
Me
52
f1 (ppm)


7.56 7.56 7.55 7.54 7.54 7.54 7.54 7.34 7.32 7.32 7.30 7.30 7.26 7.10 7.09 7.08 7.08 5.87 5.85 5.30 5.29 5.29 5.26 5.25 5.25 5.23 5.23 5.22 5.22 5.21 5.20 5.20 5.20 3.62 3.60 3.31 3.30 3.30 3.28 3.27 3.27 3.26 3.26 3.25 3.03 3.03 3.03 3.01 3.01 3.01 3.00 2.99 2.99 2.98 1.29 1.27 -0.00
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N
NHPh
Me
53
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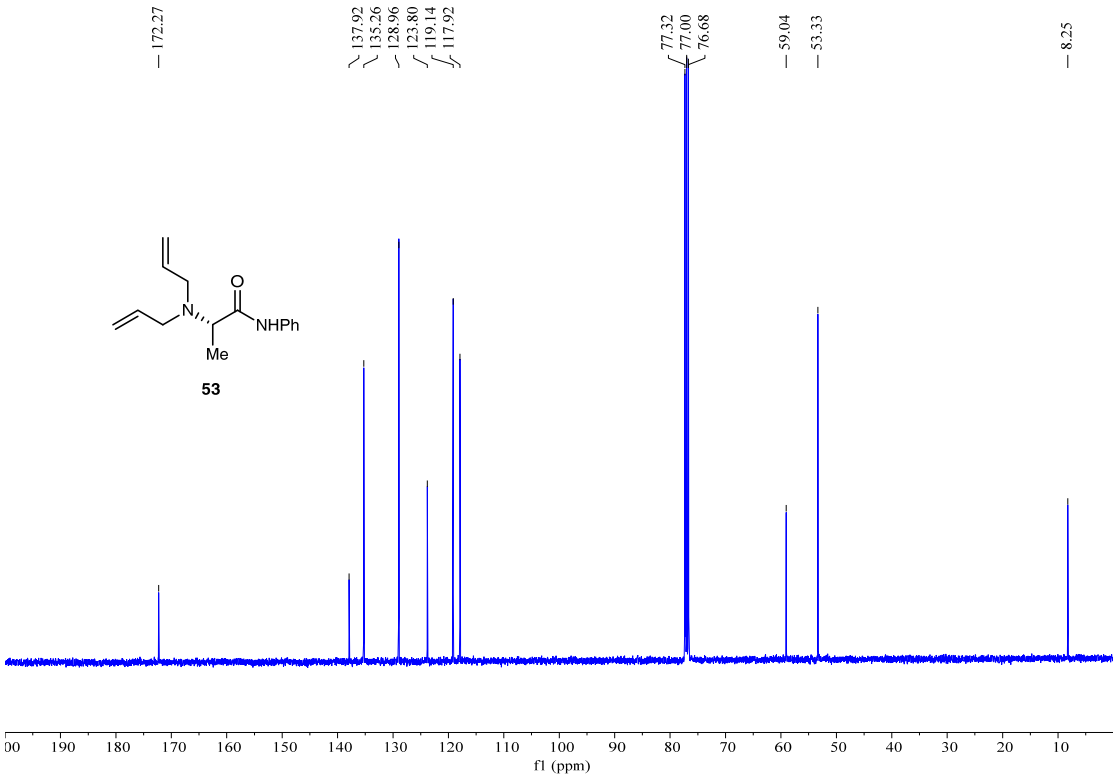
f1 (ppm)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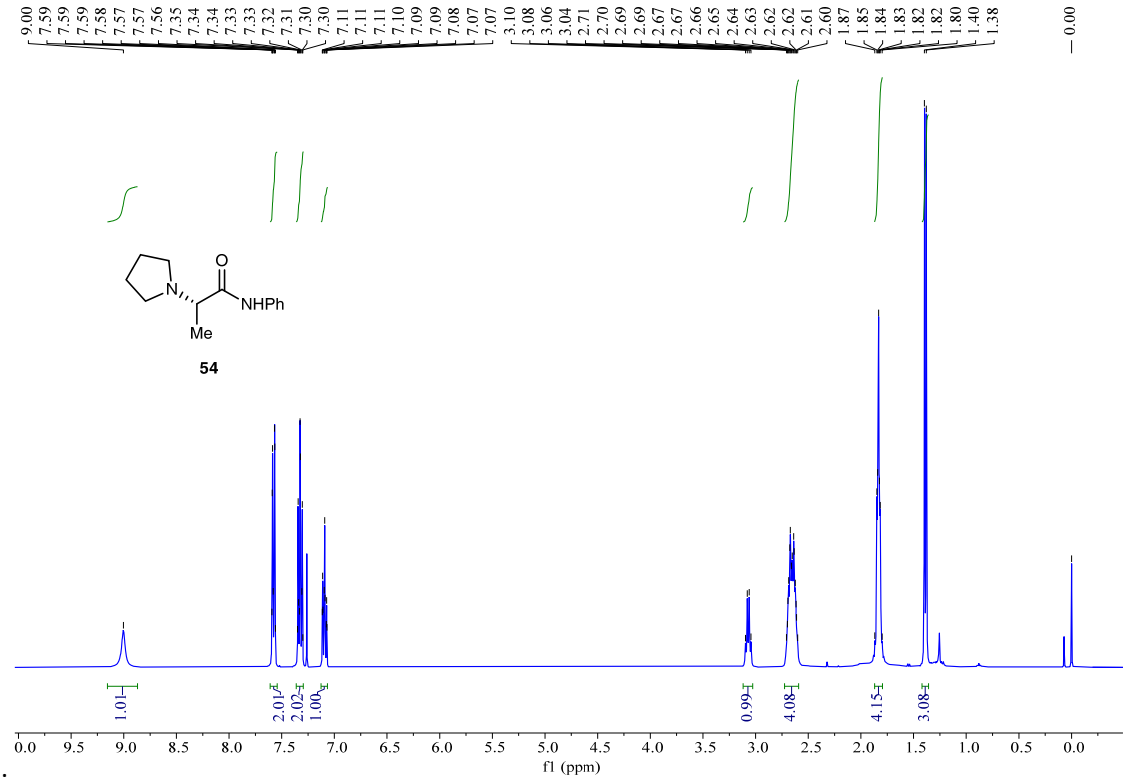
59.04
53.33
8.25
O
N
NHPh
Me
53
f1 (ppm)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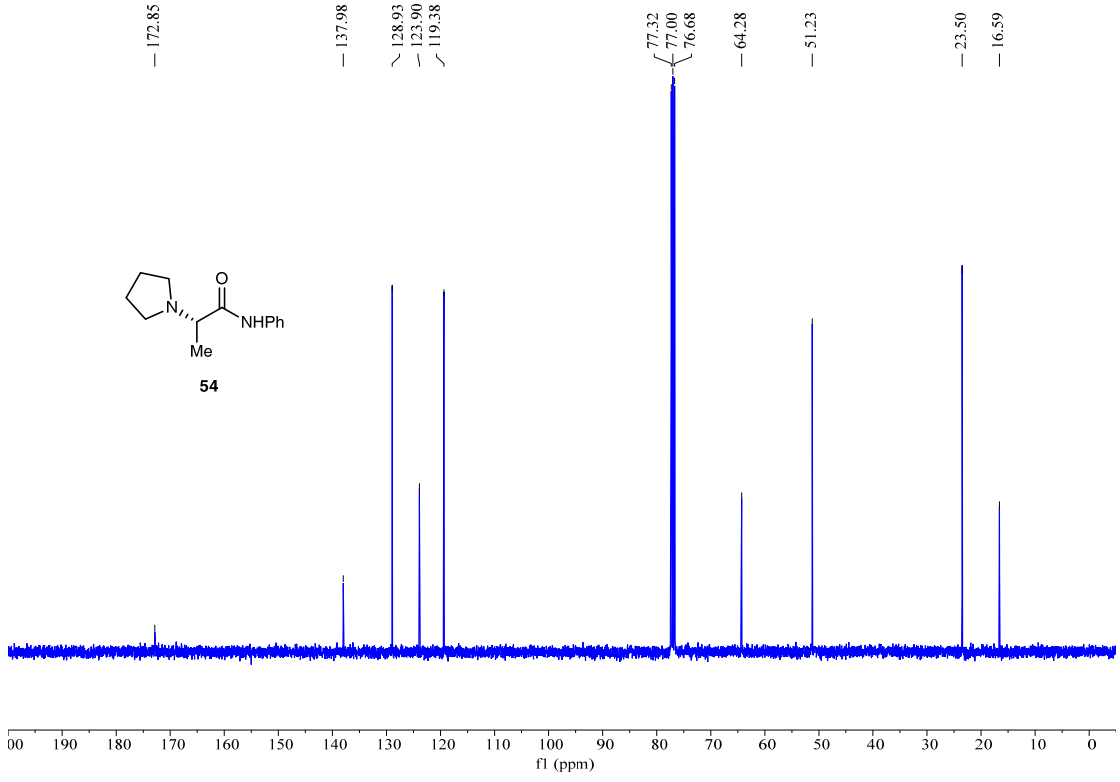
O
N
NHPh
Me
54
1.01 2.01 2.02 1.00 0.99 4.08 4.15 3.08
0.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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O
N
NHPh
Me
54
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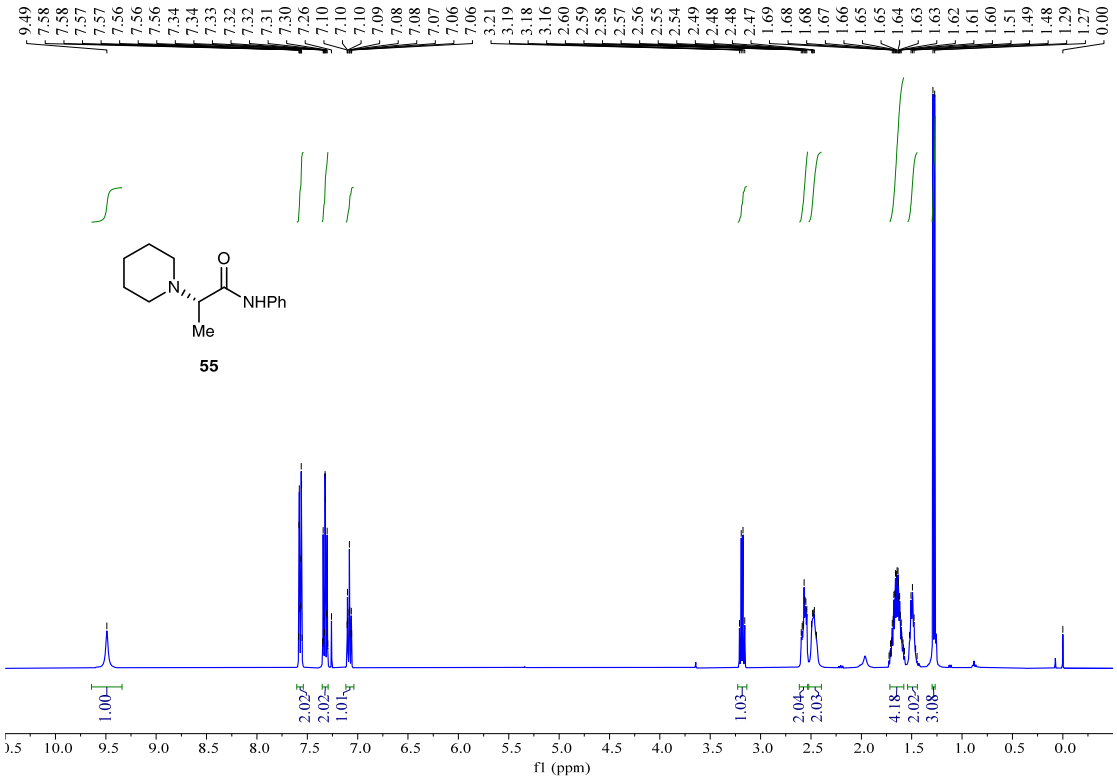
f1 (ppm)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3.21 3.19 3.18 3.16 2.60 2.59 2.58 2.57 2.56 2.55 2.54 2.49 2.48 2.48 2.47 1.69 1.68 1.68 1.67 1.66 1.65 1.65 1.64 1.63 1.63 1.62 1.61 1.60 1.51 1.49 1.48 1.29 1.27 0.00
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Me
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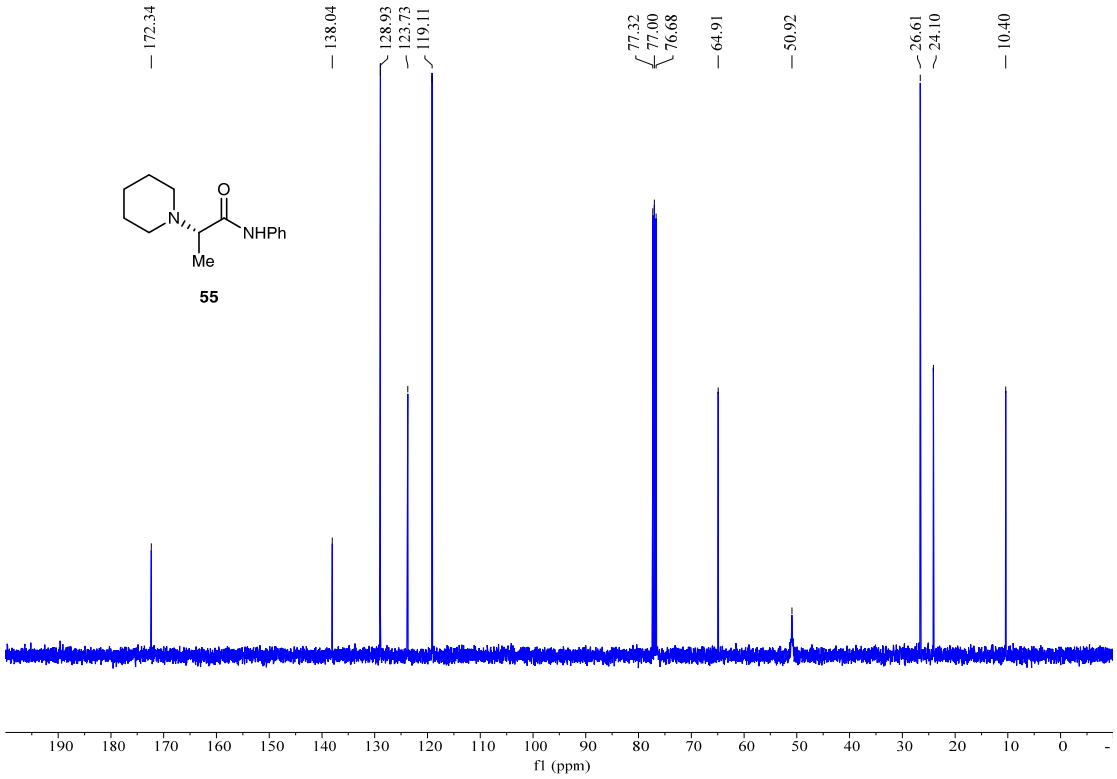
f1 (ppm)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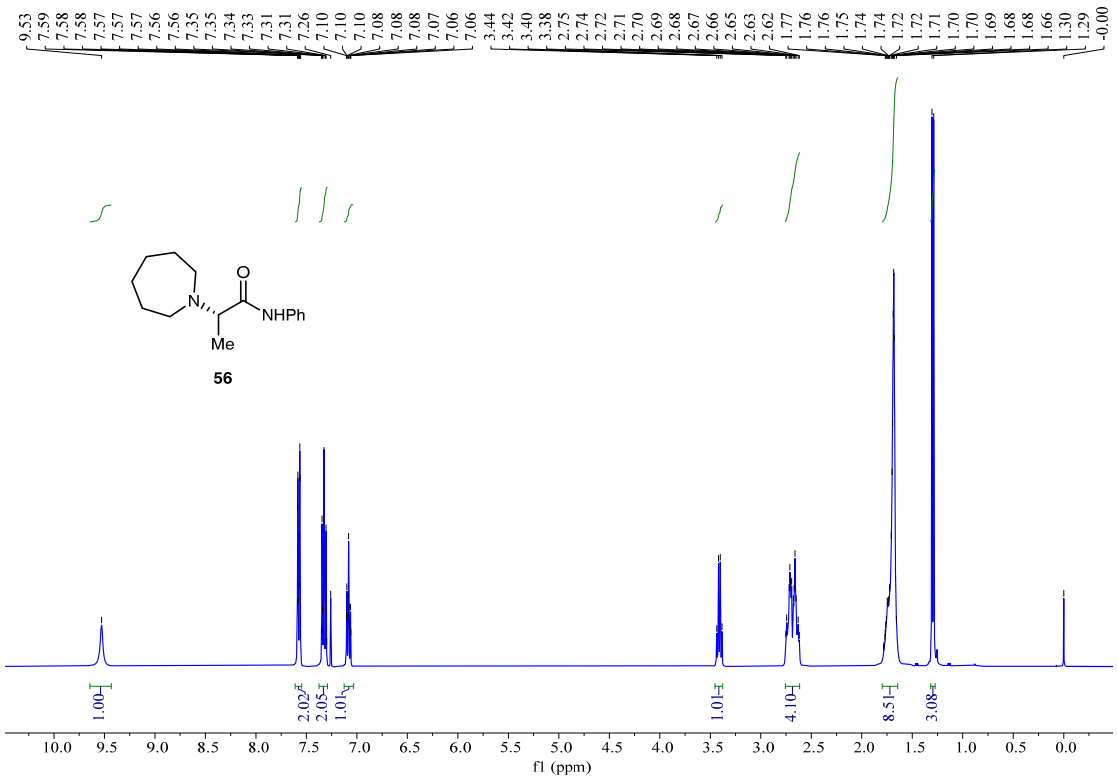
O
N
NHPh
Me
55
f1 (ppm)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7.31
7.31
7.26
7.10
7.10
7.08
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7.08
7.07
7.06
7.06
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2.71
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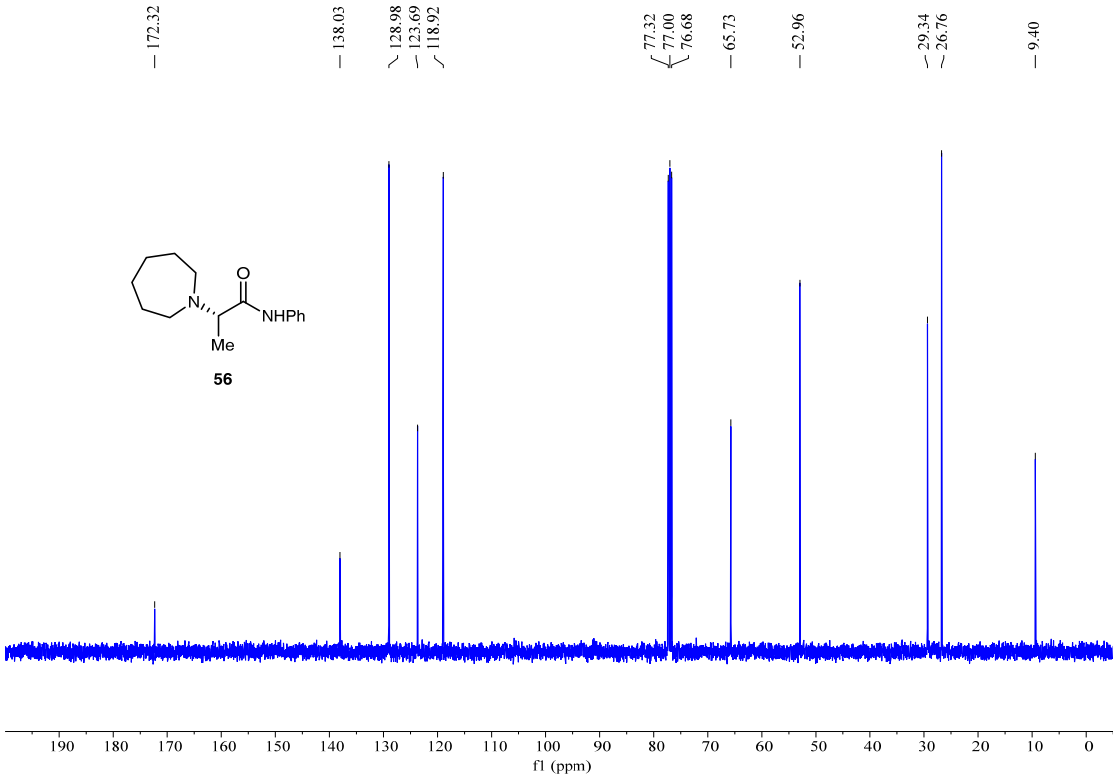
1.74
1.72
1.72
1.71
1.70
1.70
1.69
1.68
1.68
1.66
1.30
1.29
-0.00
O
N
NHPh
Me
56
1.00
2.02
2.05
1.01
1.01
4.10
8.51
3.08
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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118.92
77.32
77.00
76.68
65.73
52.96
29.34
26.76
9.40
O
N
NHPh
Me
56
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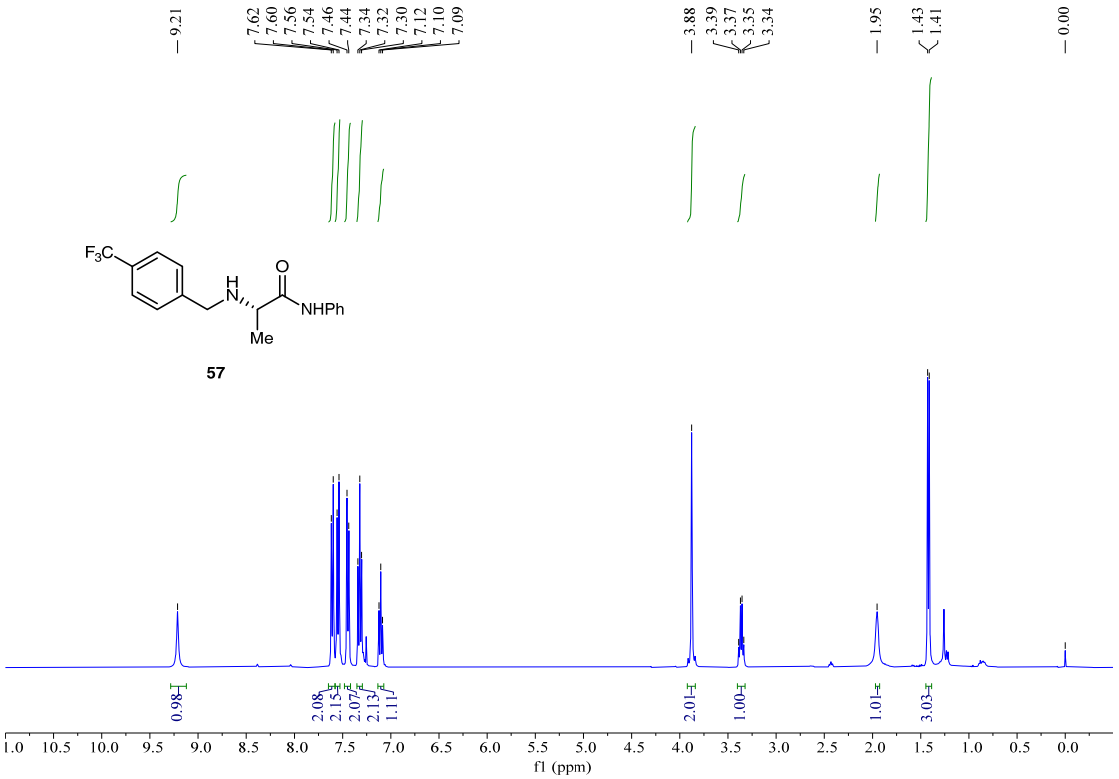
f1 (ppm)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7.32
7.30
7.12
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3.88
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3.37
3.35
3.34
1.95
1.43
1.41
0.00
F3C
H
N
O
NHPh
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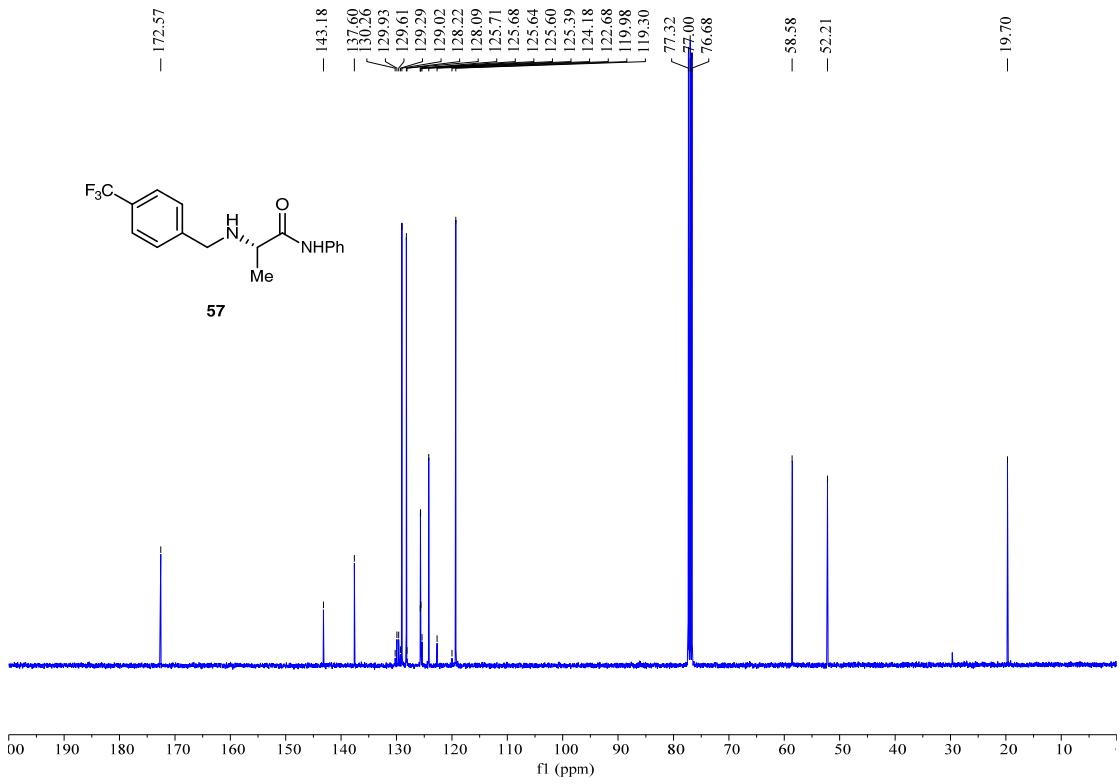
2.07
2.13
1.11
2.01
1.00
1.01
3.03
f1 (ppm)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125.71
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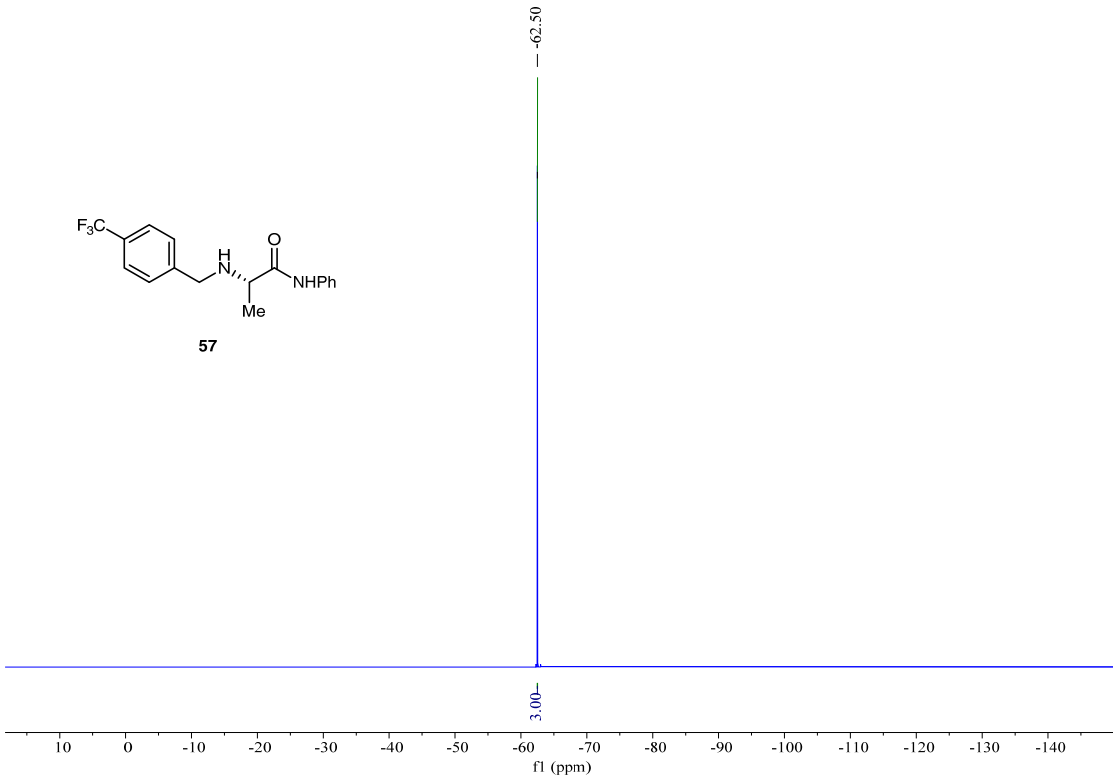
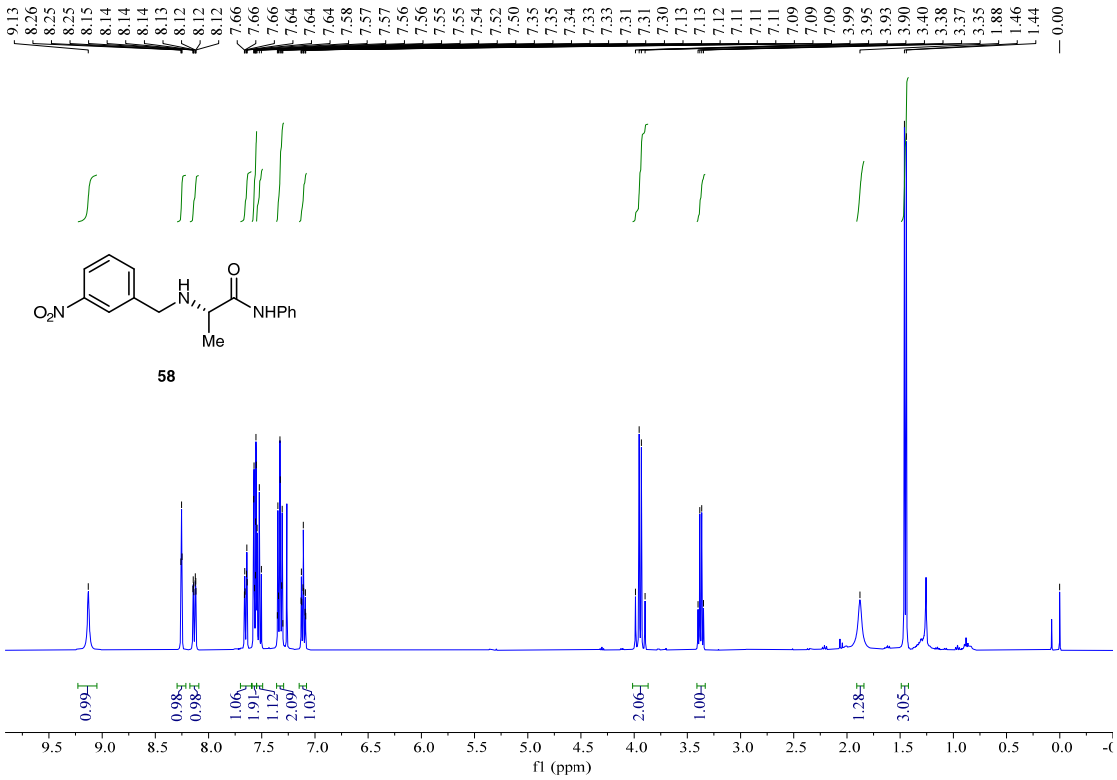
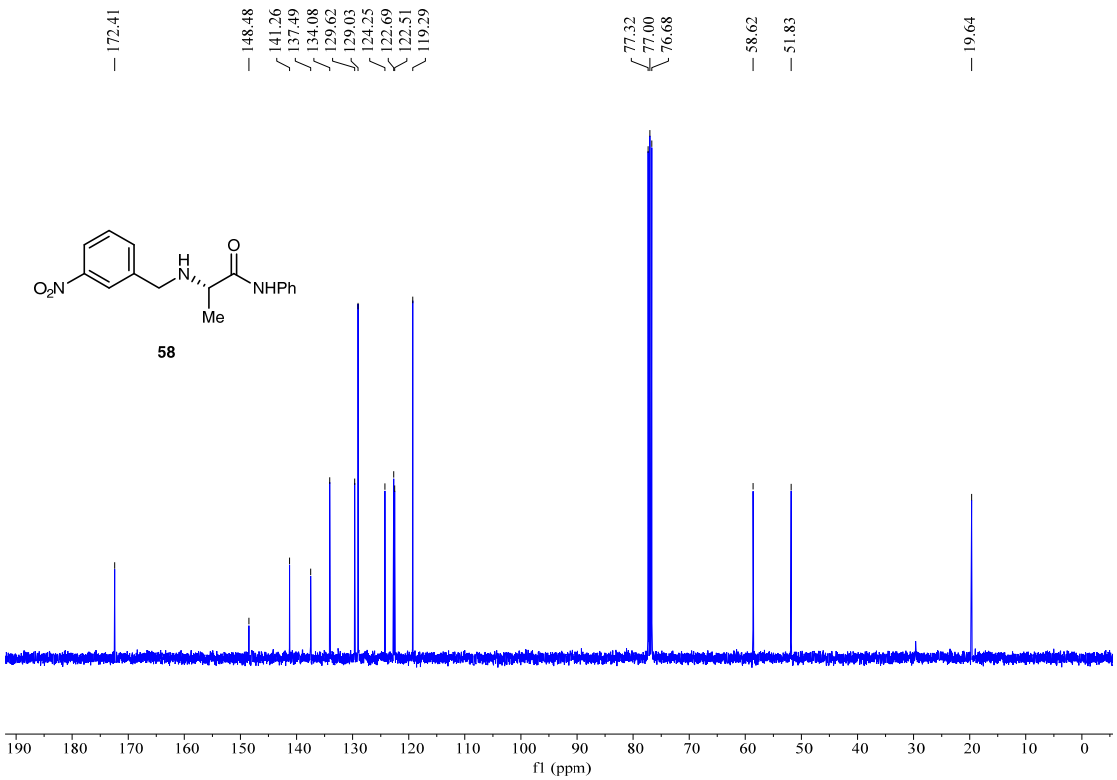
125.60
125.39
124.18
122.68
119.98
119.30
77.32
77.00
76.68
58.58
52.21
19.70
F3C
H
N
O
NHPh
Me
57
f1 (ppm)


-62.50
F3C
H
N
O
NHPh
Me
57
3.00
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)


9.13
8.26
8.25
8.25
8.15
8.14
8.14
8.14
8.13
8.12
8.12
8.12
7.66
7.66
7.66
7.64
7.64
7.64
7.58
7.57
7.57
7.56
7.56
7.55
7.55
7.54
7.52
7.50
7.35
7.35
7.34
7.33
7.33
7.31
7.31
7.30
7.13
7.13
7.12
7.11
7.11
7.11
7.09
7.09
7.09
3.99
3.95
3.93
3.90
3.40
3.38
3.37
3.35
1.88
1.46
1.44
0.00
O2N
H
N
O
NHPh
Me
58
0.99
0.98
0.98
1.06
1.91
1.12
2.09
1.03
2.06
1.00
1.28
3.05
f1 (ppm)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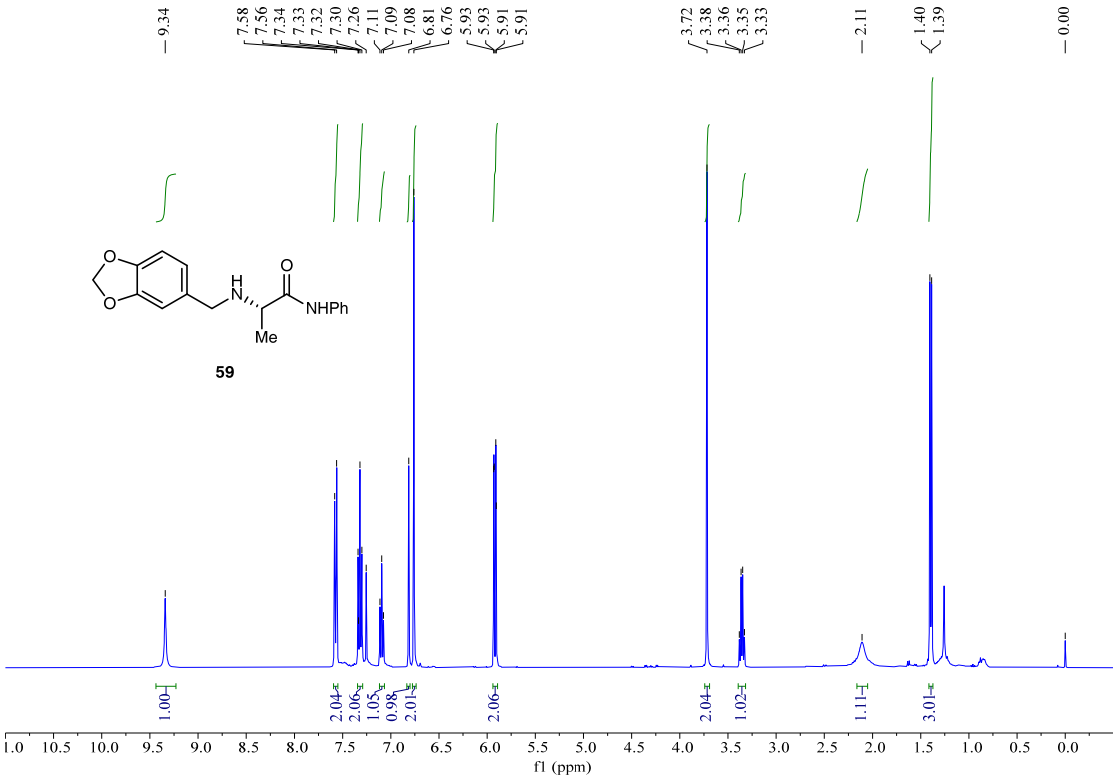
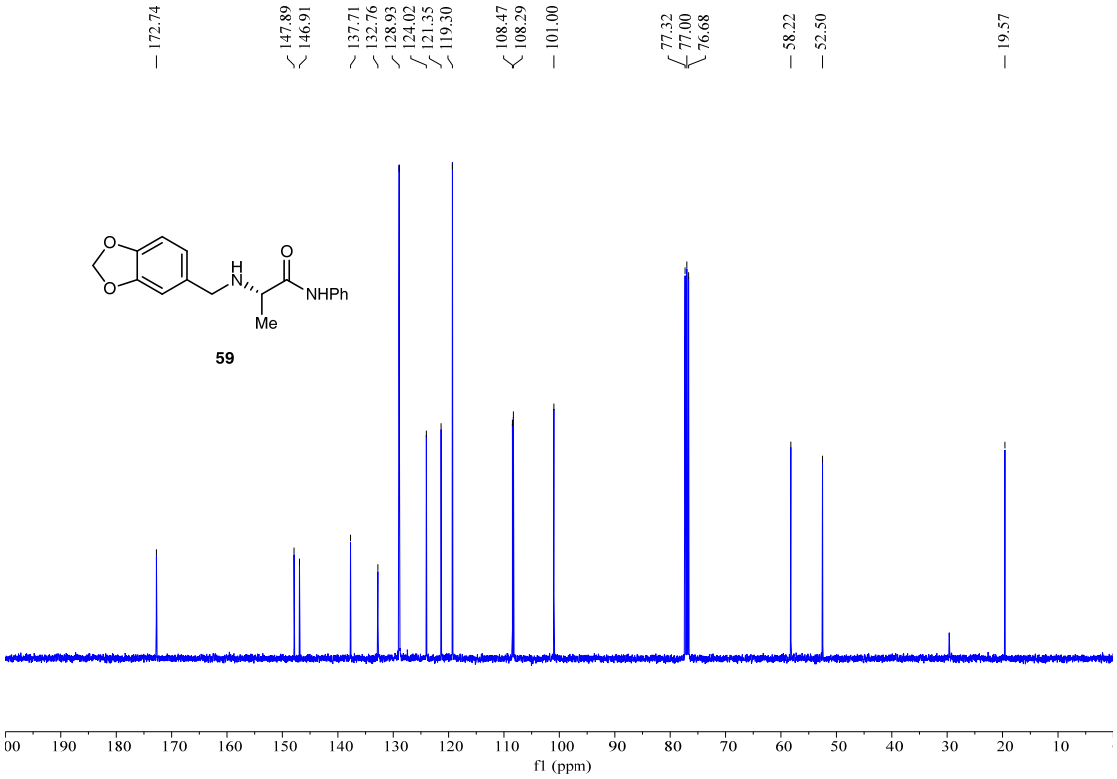
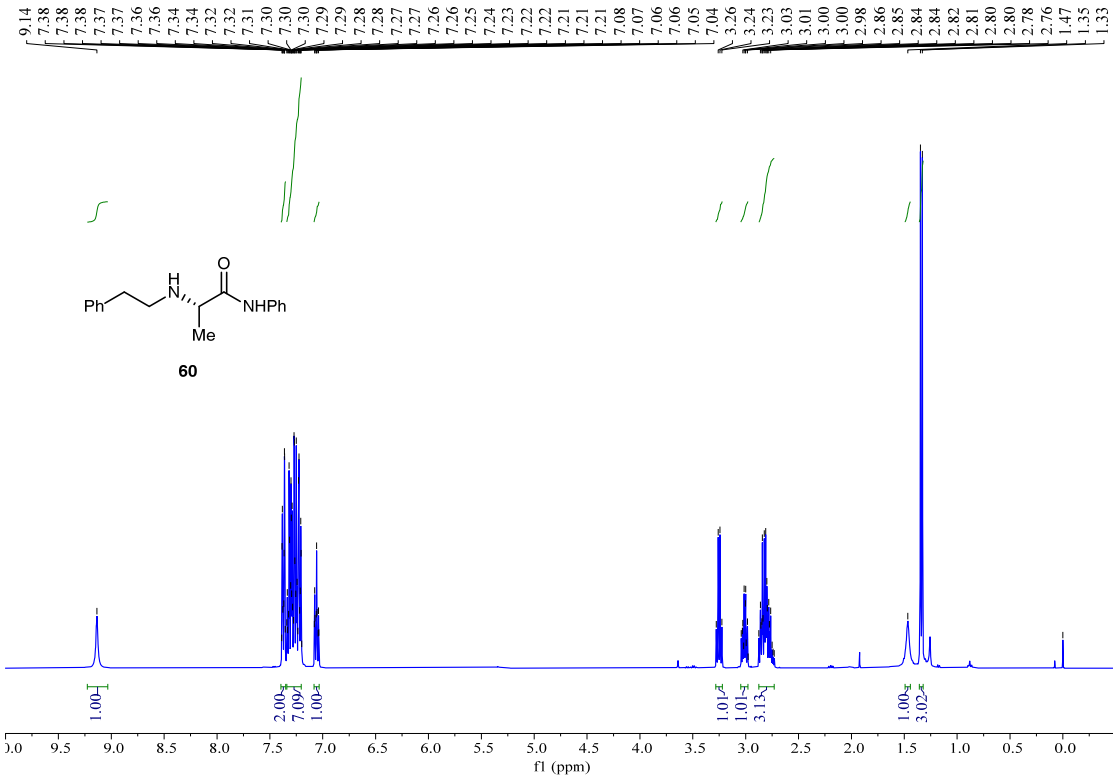
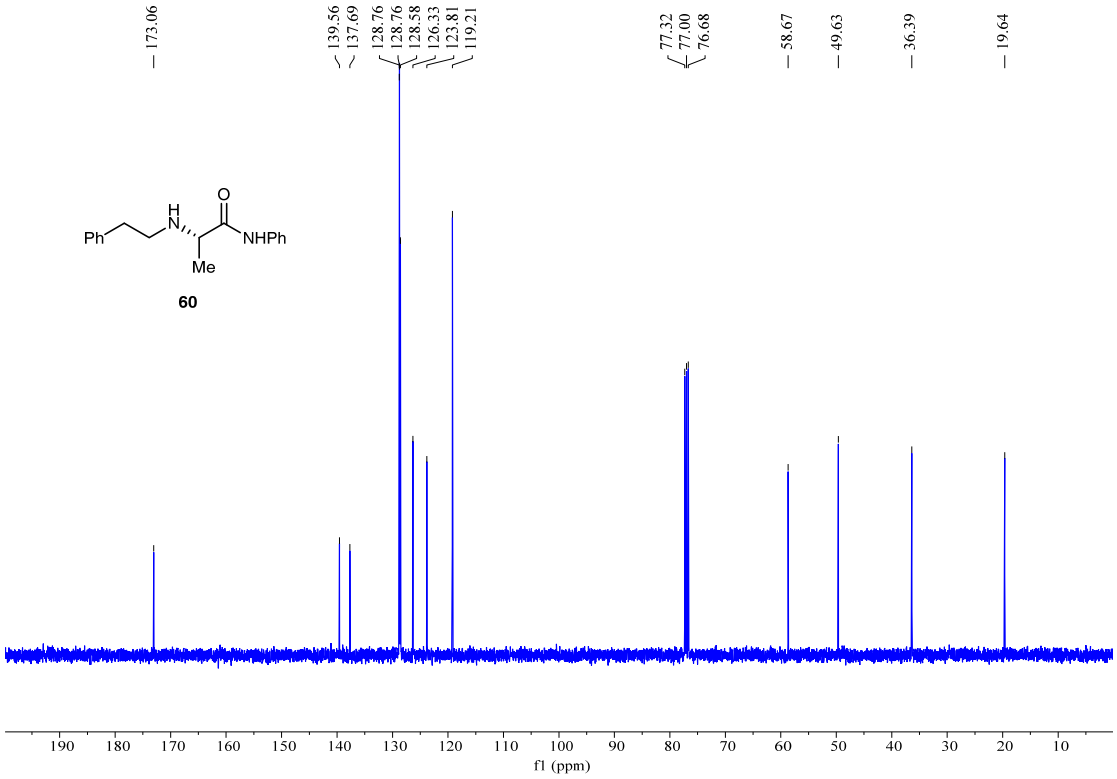
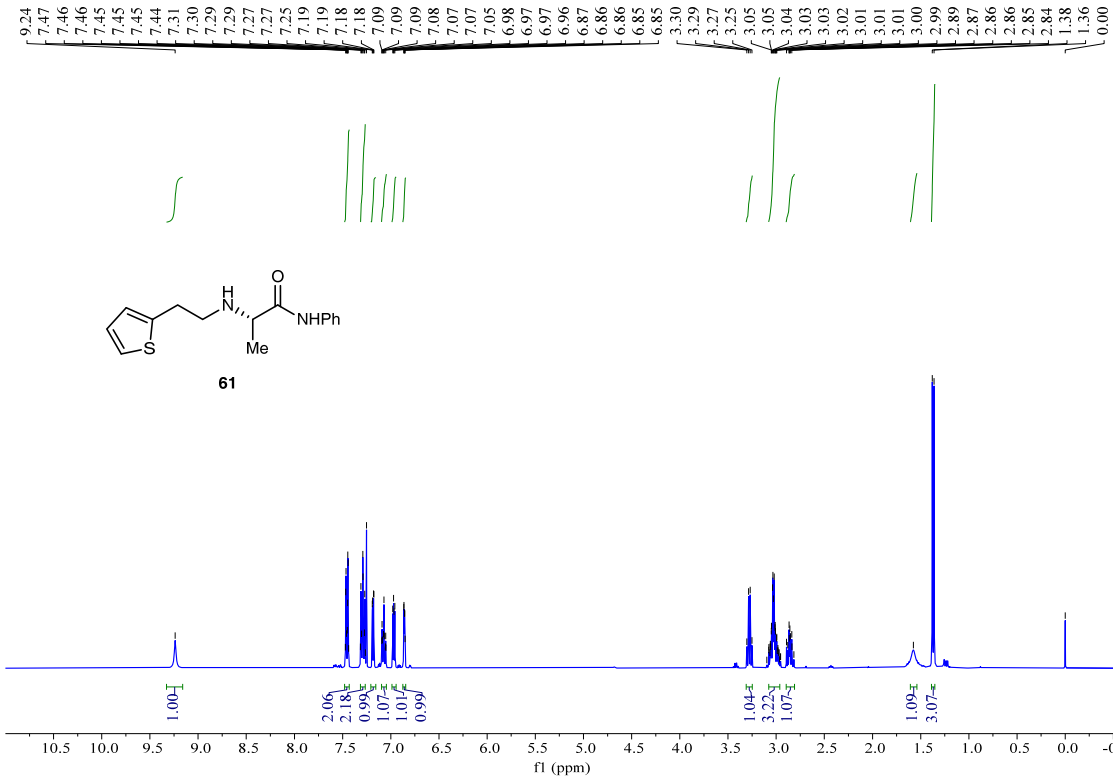
148.48
141.26
137.49
134.08
129.62
129.03
124.25
122.69
122.51
119.29
77.32
77.00
76.68
58.62
51.83
19.64
O2N
H
N
O
NHPh
Me
58
f1 (ppm)

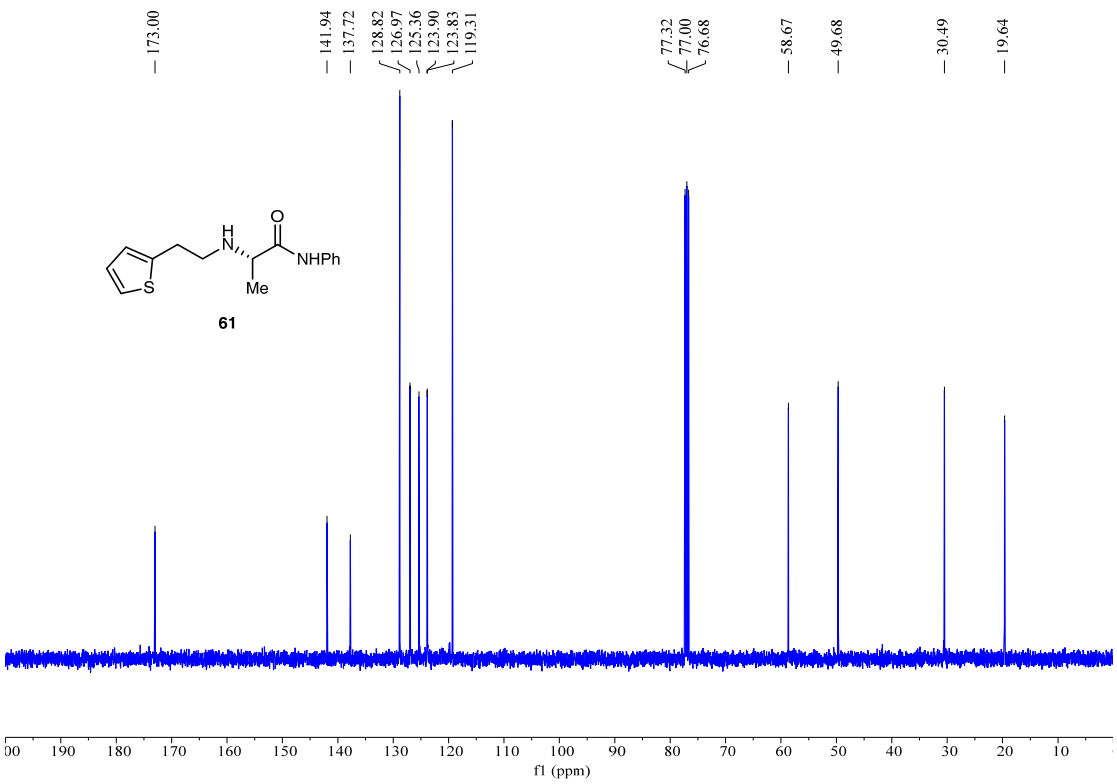

9.34
7.58
7.56
7.34
7.33
7.32
7.30
7.26
7.11
7.09
7.08
6.81
6.76
5.93
5.93
5.91
5.91
3.72
3.38
3.36
3.35
3.33
2.11
1.40
1.39
0.00
O
O
H
N
O
NHPh
Me
59
1.00
2.04
2.06
1.05
0.98
2.01
2.06
2.04
1.02
1.11
3.01
f1 (ppm)

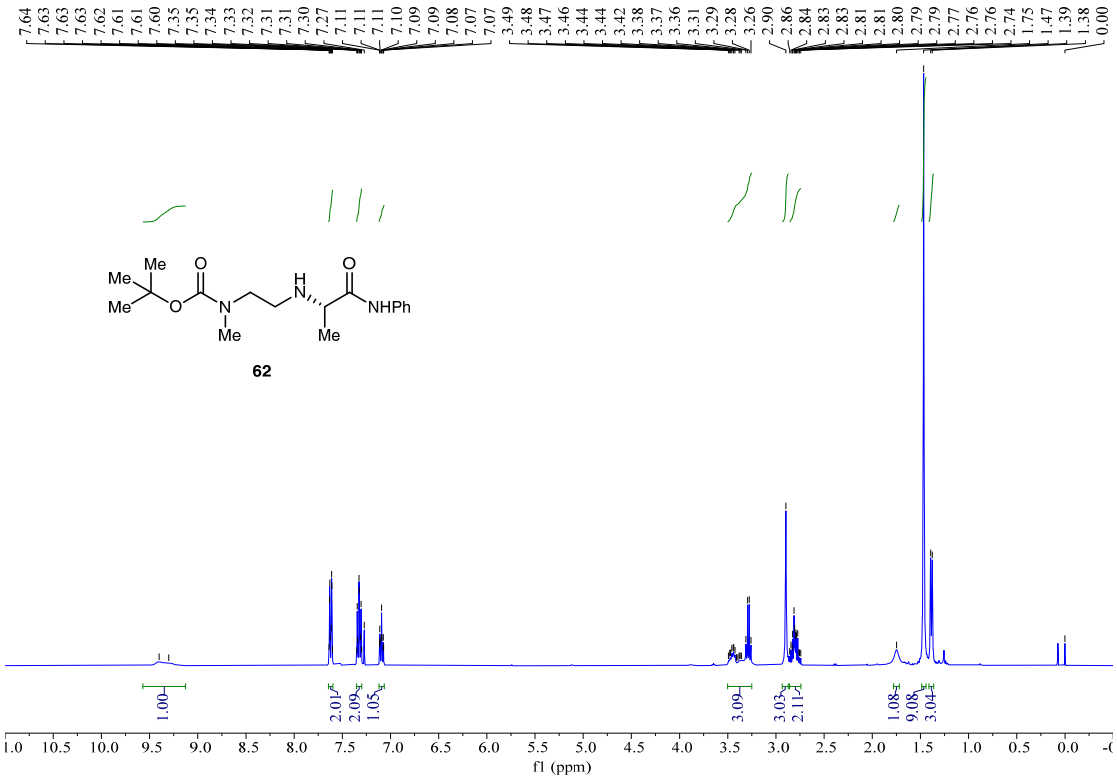

172.74
147.89
146.91
137.71
132.76
128.93
124.02
121.35
119.30
108.47
108.29
101.00
77.32
77.00
76.68
58.22
52.50
19.57
O
O
H
N
O
NHPh
Me
59
f1 (ppm)

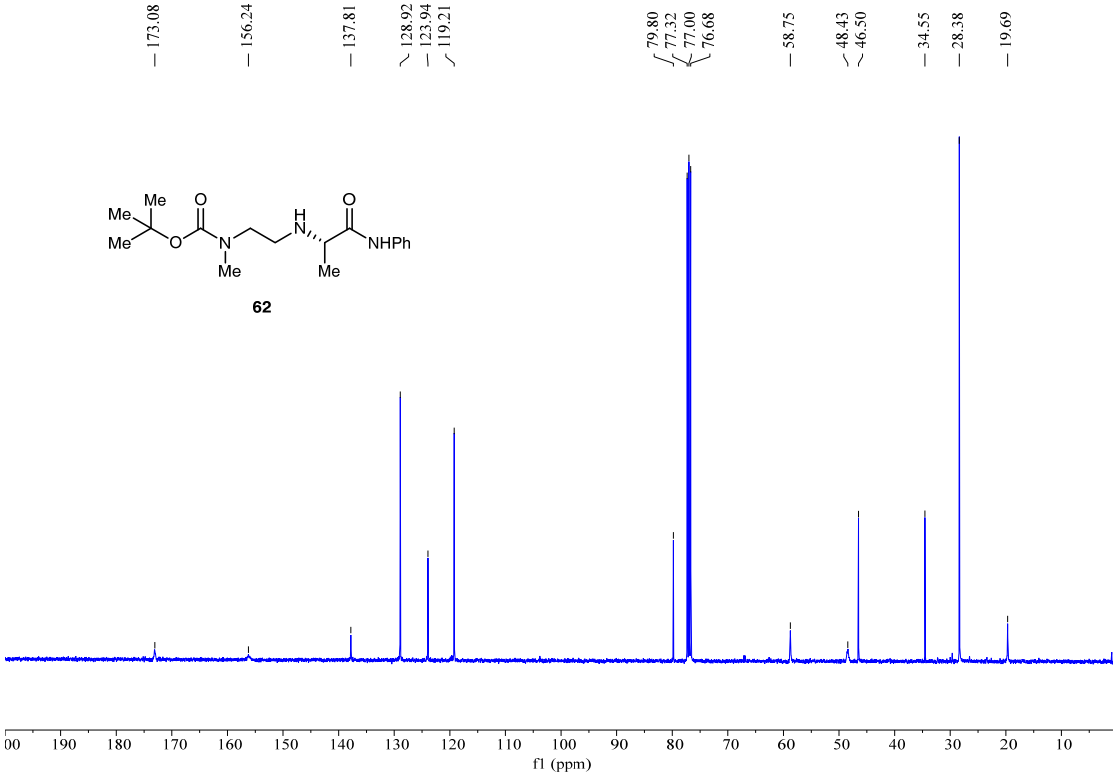

9.14 7.38 7.38 7.38 7.37 7.37 7.36 7.36 7.34 7.34 7.32 7.32 7.31 7.30 7.30 7.30 7.29 7.29 7.28 7.28 7.27 7.27 7.26 7.26 7.25 7.24 7.23 7.22 7.22 7.21 7.21 7.21 7.08 7.07 7.06 7.06 7.05 7.04 3.26 3.24 3.23 3.03 3.01 3.00 3.00 2.98 2.86 2.85 2.84 2.84 2.82 2.81 2.80 2.80 2.78 2.76 1.47 1.35 1.33
Ph
N
H
O
NHPh
Me
60
1.00 2.00 7.09 1.00 1.01 1.01 3.13 1.00 3.02
f1 (ppm)

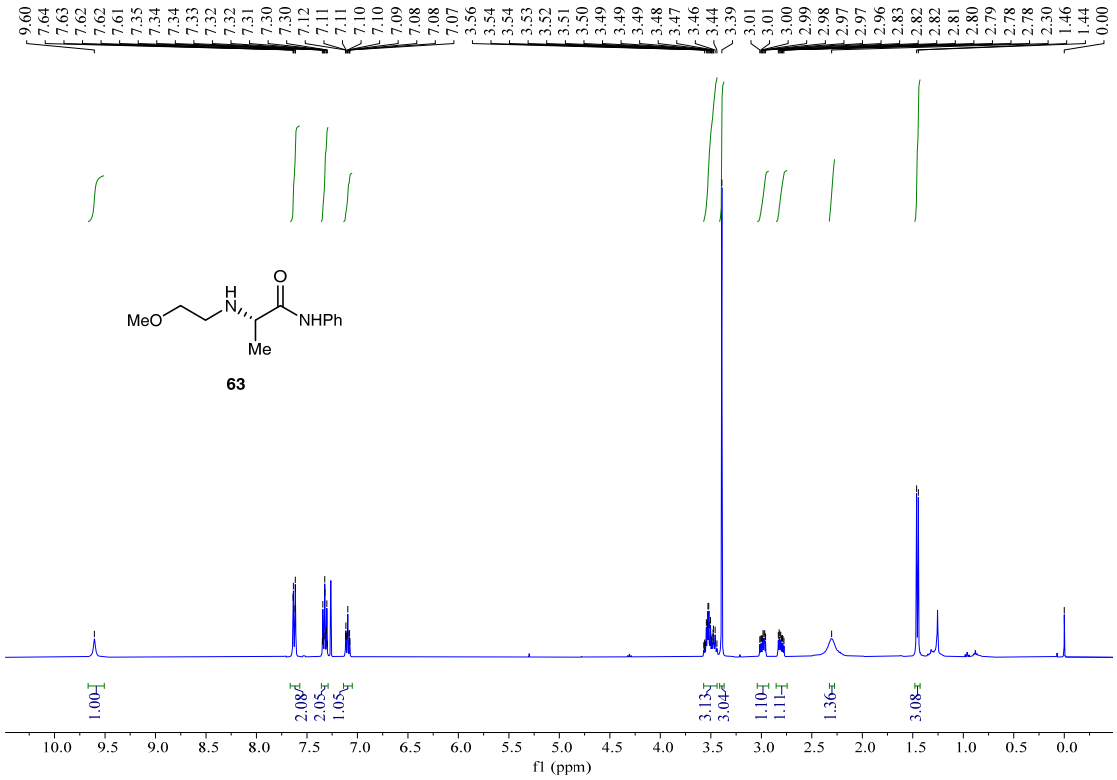

173.06
139.56 137.69 128.76 128.76 128.58 126.33 123.81 119.21
77.32 77.00 76.68
58.67
49.63
36.39
19.64
Ph
N
H
O
NHPh
Me
60
f1 (ppm)

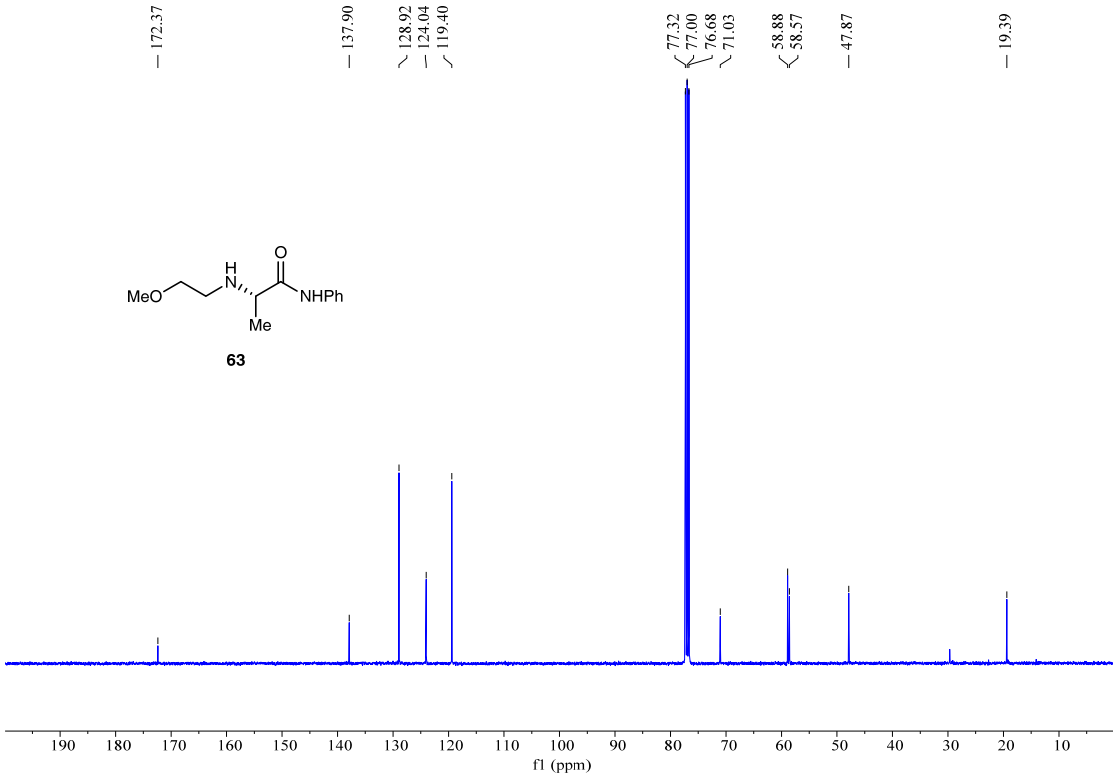

9.24 7.47 7.46 7.46 7.45 7.45 7.45 7.44 7.31 7.30 7.29 7.29 7.27 7.27 7.25 7.19 7.19 7.18 7.18 7.09 7.09 7.09 7.08 7.07 7.07 7.05 6.98 6.97 6.97 6.96 6.87 6.86 6.86 6.85 6.85
3.30 3.29 3.27 3.25 3.05 3.05 3.04 3.03 3.03 3.02 3.01 3.01 3.01 3.00 2.99 2.89 2.87 2.86 2.86 2.85 2.84 1.38 1.36 0.00
NHPh
Me
61
1.00 2.06 2.18 0.99 1.07 1.01 0.99 1.04 3.22 1.07 1.09 3.07
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

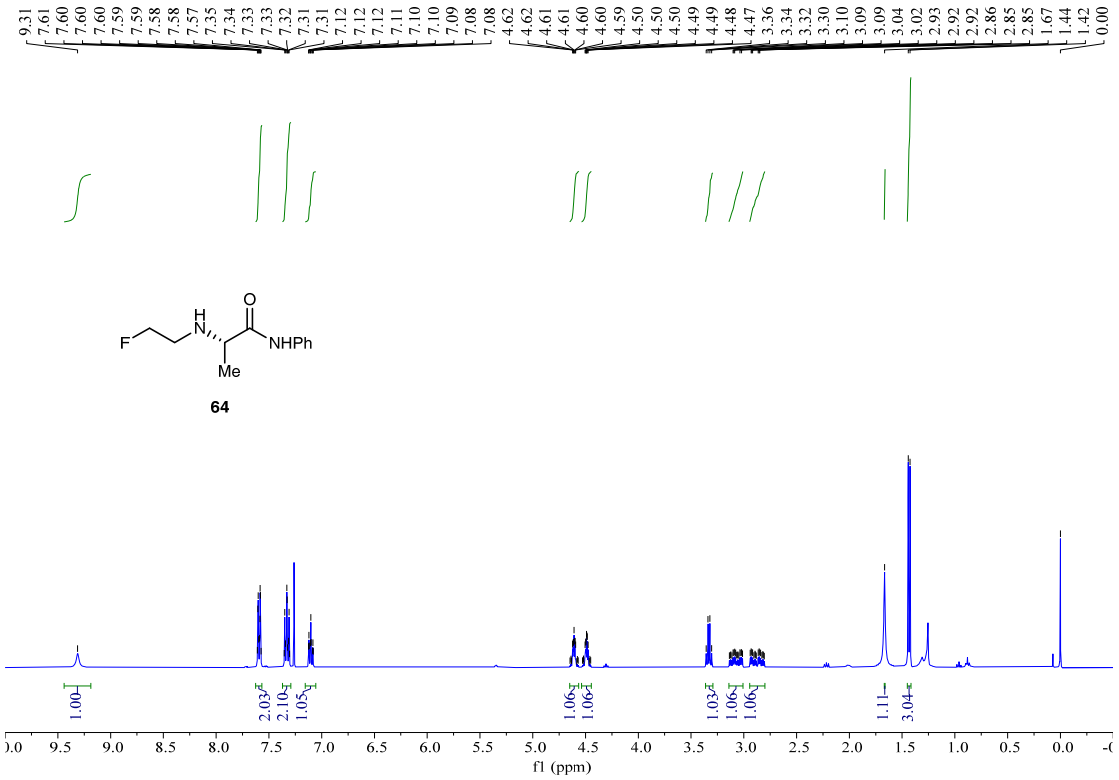

173.00 141.94 137.72 128.82 126.97 125.36 123.90 123.83 119.31 77.32 77.00 76.68 58.67 49.68 30.49 19.64
NHPh
Me
61
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

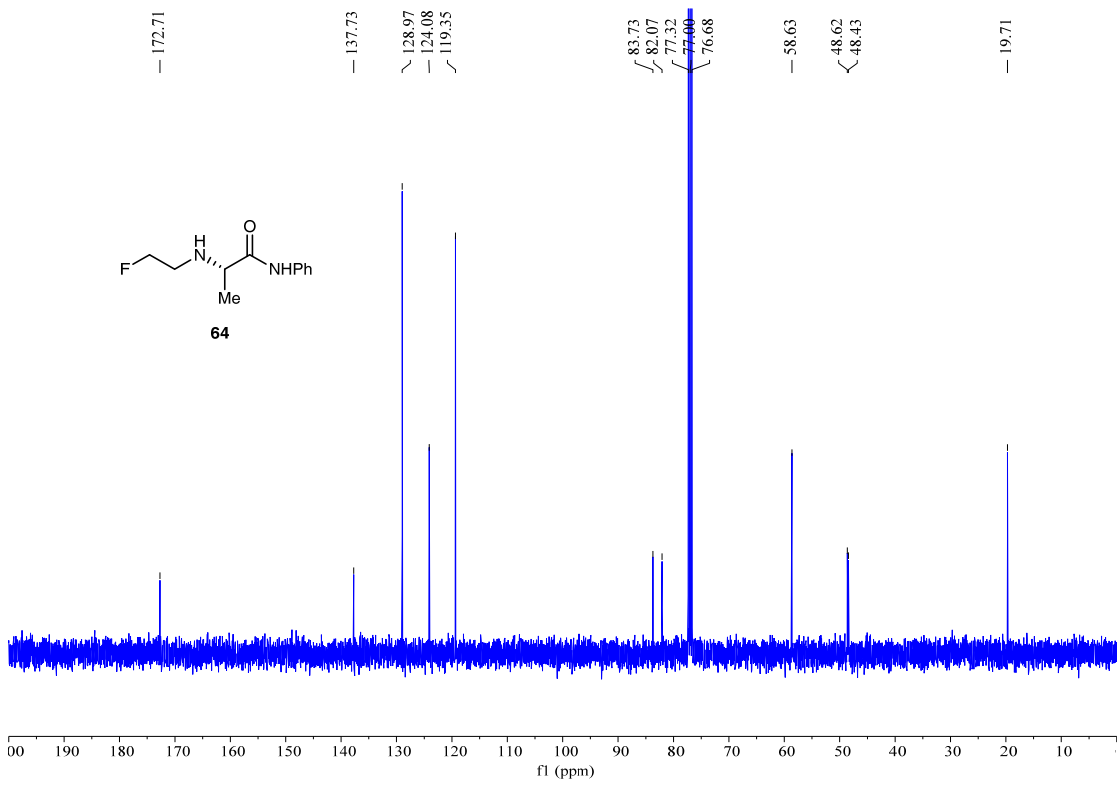

7.64 7.63 7.63 7.63 7.62 7.61 7.61 7.60 7.35 7.35 7.34 7.33 7.32 7.31 7.31 7.30 7.27 7.11 7.11 7.11 7.10 7.09 7.09 7.08 7.07 7.07
3.49 3.48 3.47 3.46 3.44 3.44 3.42 3.38 3.37 3.36 3.31 3.29 3.28 3.26
2.90 2.86 2.84 2.83 2.83 2.81 2.81 2.80 2.79 2.79 2.77 2.76 2.76 2.74 1.75 1.47 1.39 1.38 0.00
Me
Me
O
O
N
Me
H
N
O
NHPh
Me
Me
62
1.00
2.01
2.09
1.05
3.09
3.03
2.11
1.08
9.08
3.04
f1 (ppm)


173.08
156.24
137.81
128.92
123.94
119.21
79.80
77.32
77.00
76.68
58.75
48.43
46.50
34.55
28.38
19.69
Me
Me
O
O
N
Me
H
N
O
NHPh
Me
Me
62
f1 (ppm)


9.60 7.64 7.63 7.62 7.62 7.61 7.35 7.34 7.34 7.33 7.32 7.32 7.31 7.30 7.30 7.12 7.11 7.11 7.10 7.10 7.09 7.08 7.08 7.07 3.56 3.54 3.54 3.53 3.52 3.51 3.50 3.49 3.49 3.49 3.48 3.47 3.46 3.44 3.39 3.01 3.01 3.00 2.99 2.98 2.97 2.97 2.96 2.83 2.82 2.82 2.81 2.80 2.79 2.78 2.78 2.30 1.46 1.44 0.00
MeO
NHPh
Me
63
1.00 2.08 2.05 1.05 3.13 3.04 1.10 1.11 1.36 3.08
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.37 137.90 128.92 124.04 119.40 77.32 77.00 76.68 71.03 58.88 58.57 47.87 19.39
MeO
NHPh
Me
63
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


9.31 7.61 7.60 7.60 7.60 7.59 7.59 7.58 7.58 7.57 7.35 7.34 7.33 7.33 7.32 7.31 7.31 7.12 7.12 7.11 7.10 7.10 7.09 7.08 7.08
4.62 4.62 4.61 4.61 4.60 4.60 4.59 4.50 4.50 4.50 4.49 4.49 4.48 4.47 3.36 3.34 3.32 3.30 3.10 3.09 3.09 3.04 3.02 2.93 2.92 2.92 2.86 2.85 2.85 1.67 1.44 1.42 0.00
F
N
H
O
NHPh
Me
64
1.00
2.03
2.10
1.05
1.06
1.06
1.03
1.06
1.06
1.11
3.04
f1 (ppm)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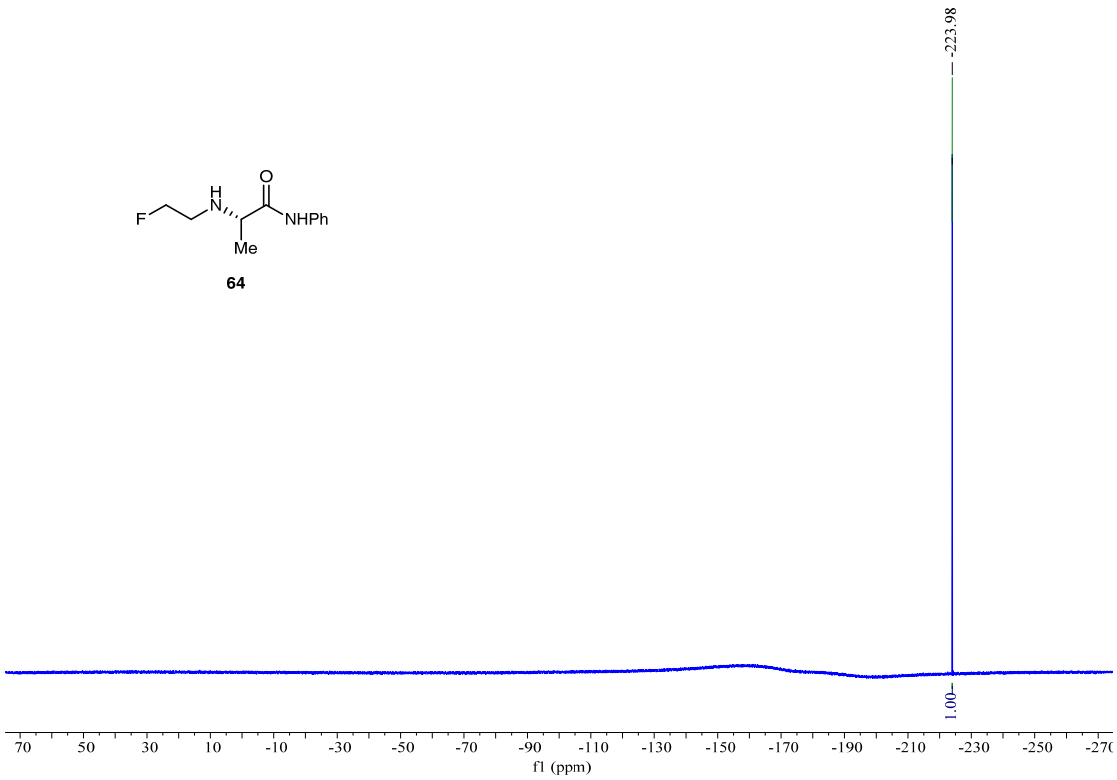
137.73
128.97
124.08
119.35
83.73
82.07
77.32
77.00
76.68
58.63
48.62
48.43
19.71
F
N
H
O
NHPh
Me
64
f1 (ppm)


-223.98
F
H
N
O
NHPh
Me
1.00
70
50
30
10
-10
-30
-50
-70
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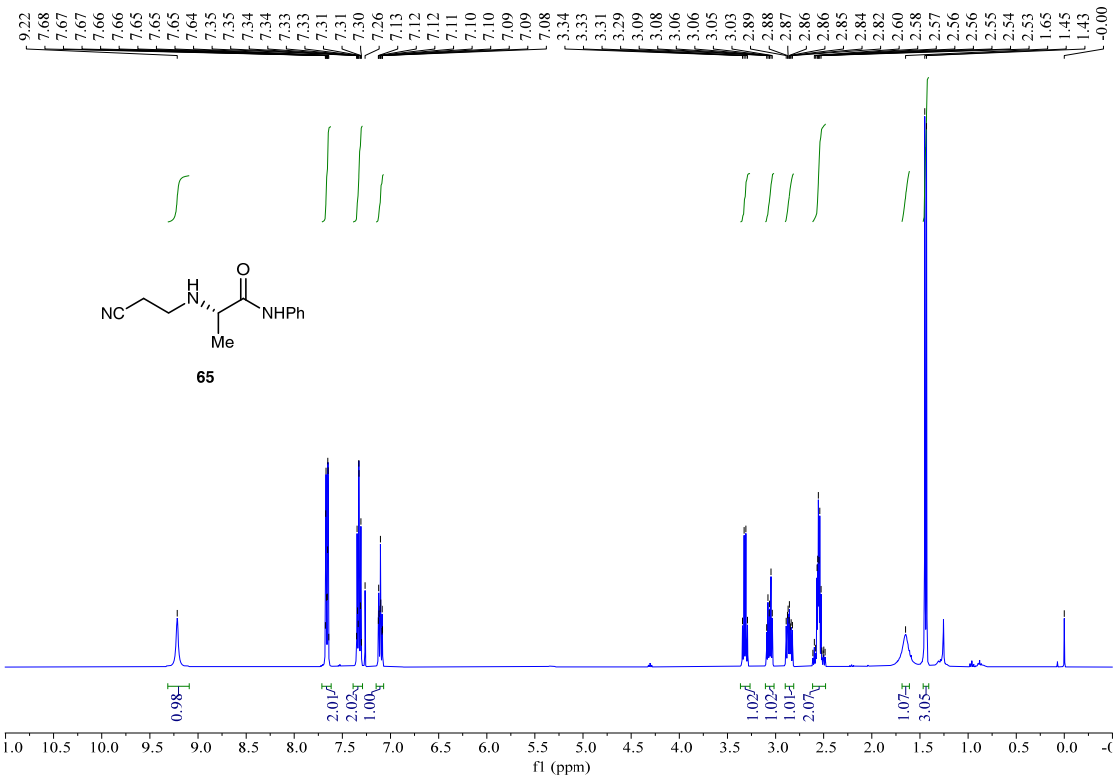
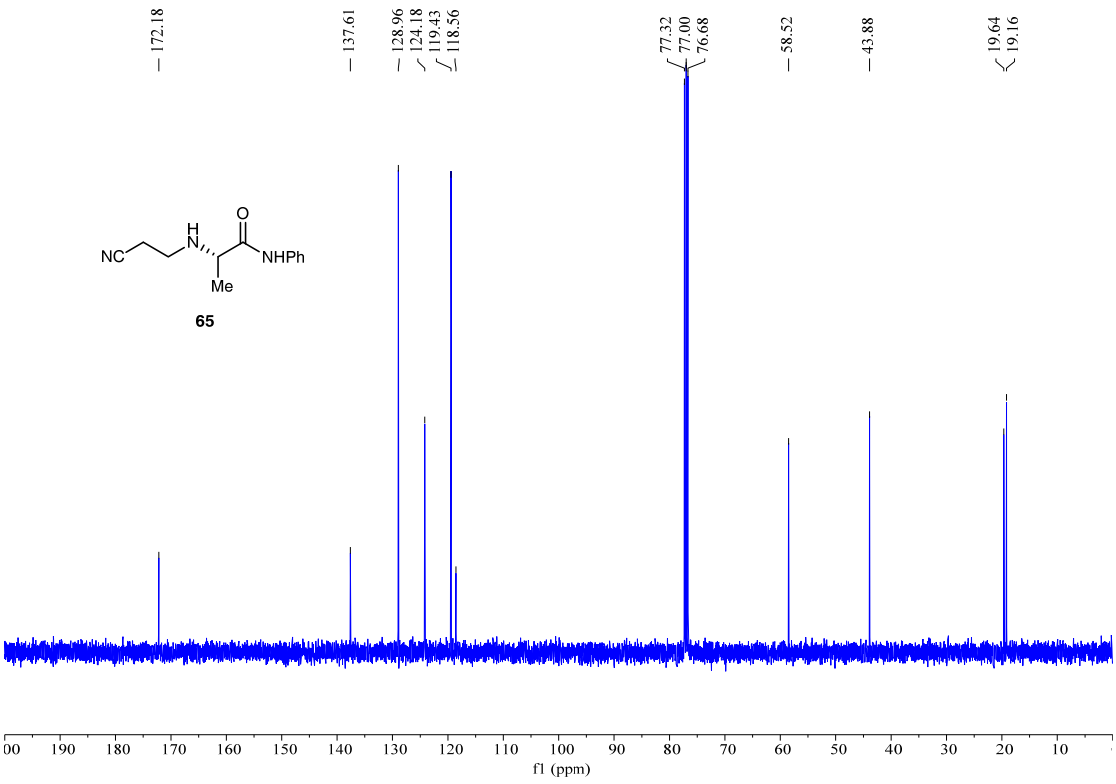
-170
-190
-210
-230
-250
f1 (ppm)

64


9.22 7.68 7.67 7.67 7.66 7.66 7.65 7.65 7.65 7.64 7.35 7.35 7.34 7.34 7.33 7.33 7.31 7.31 7.30 7.26 7.13 7.12 7.12 7.11 7.10 7.10 7.09 7.09 7.08 3.34 3.33 3.31 3.29 3.09 3.08 3.06 3.06 3.05 3.03 2.89 2.88 2.87 2.86 2.86 2.85 2.84 2.82 2.60 2.58 2.57 2.56 2.56 2.55 2.54 2.53 1.65 1.45 1.43 -0.00
NC
H
N
O
NHPh
Me
65
0.98
2.01
2.02
1.00
1.02
1.02
1.01
2.07
1.07
3.05
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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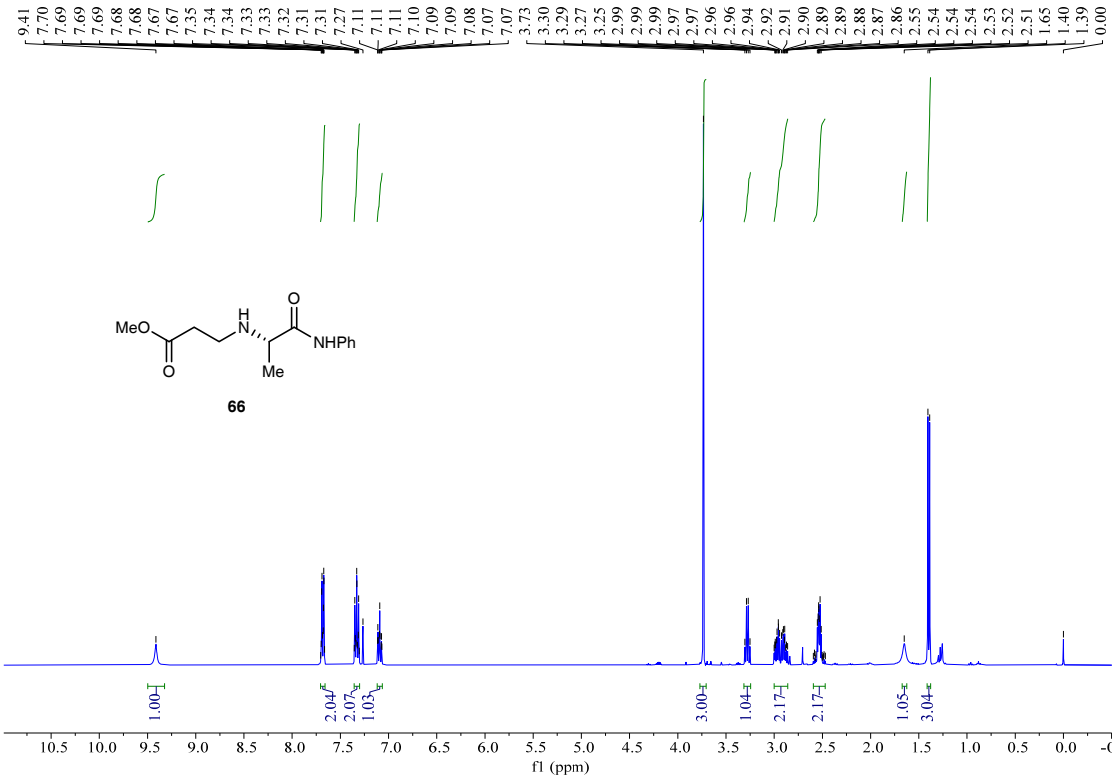
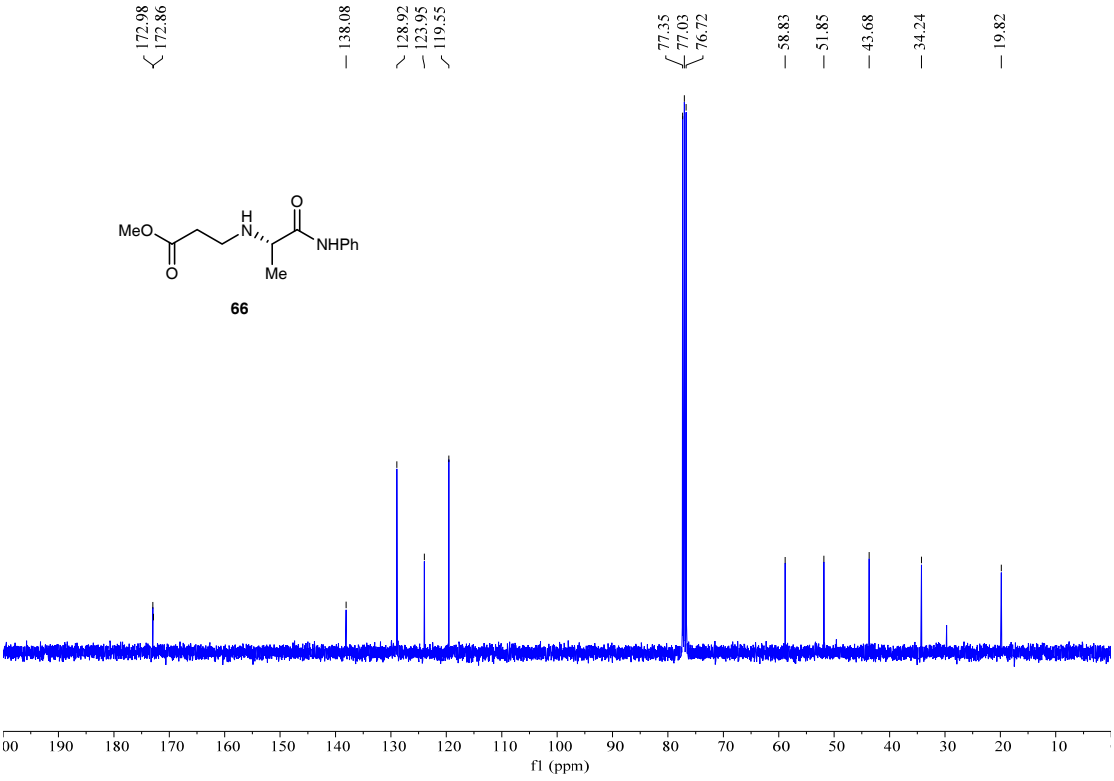
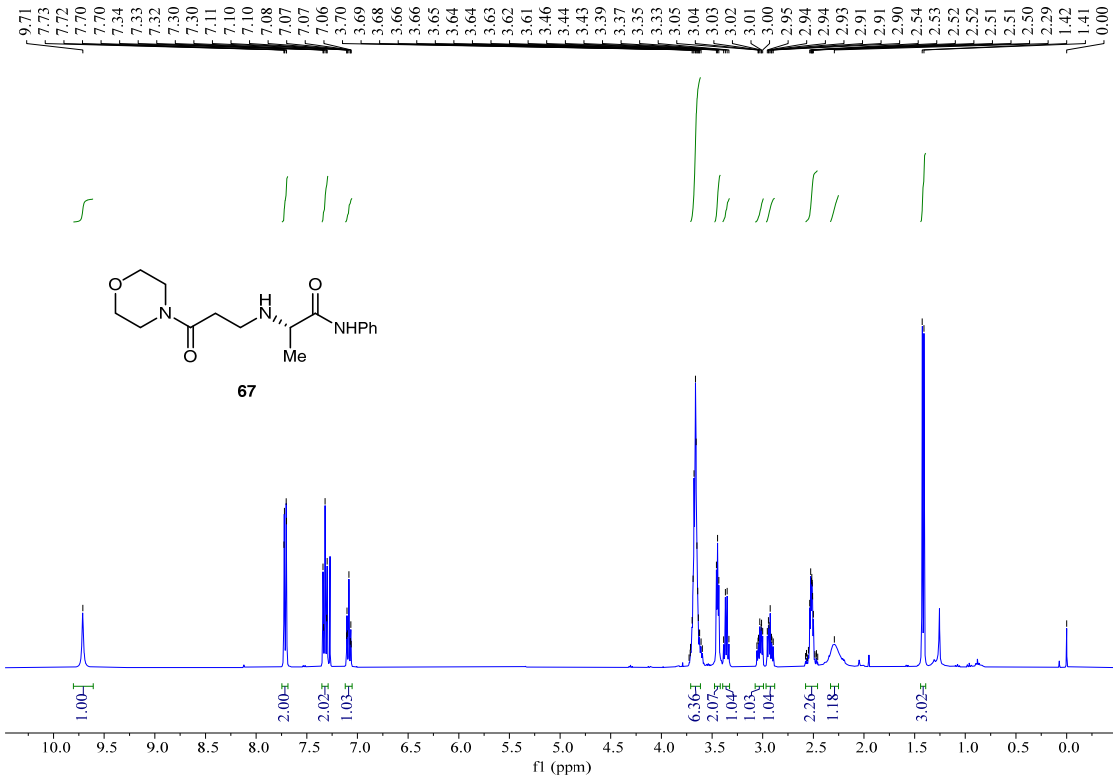
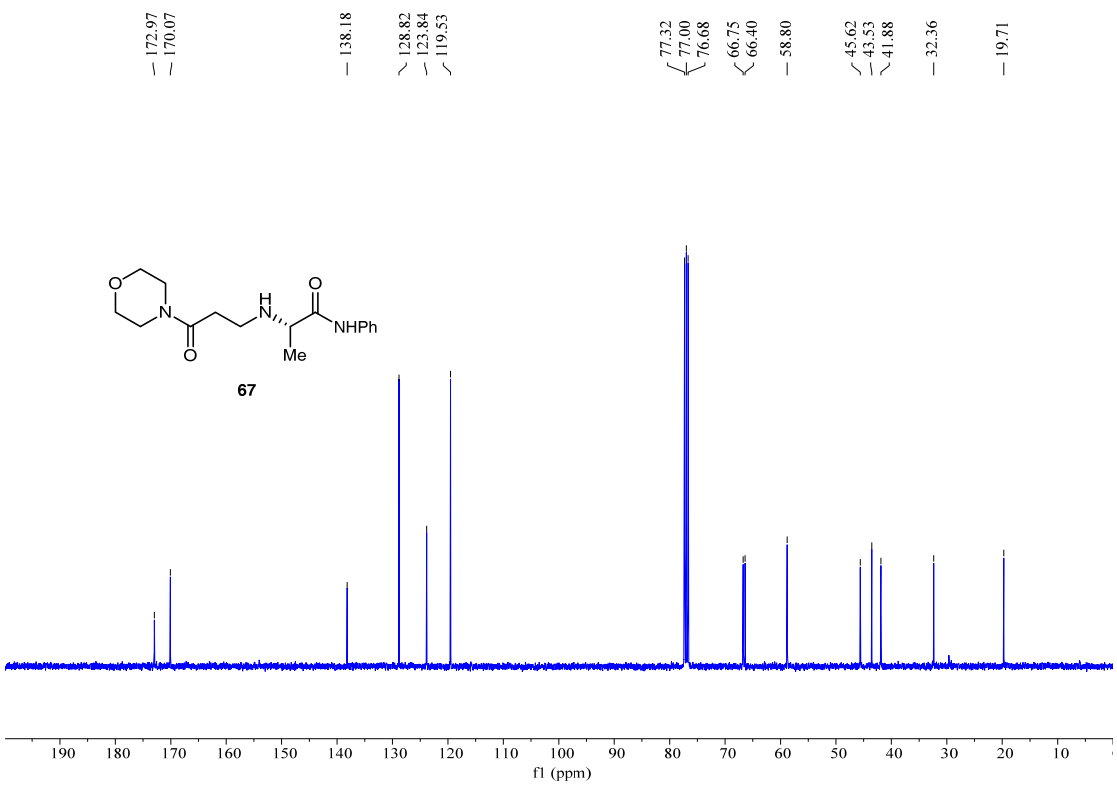
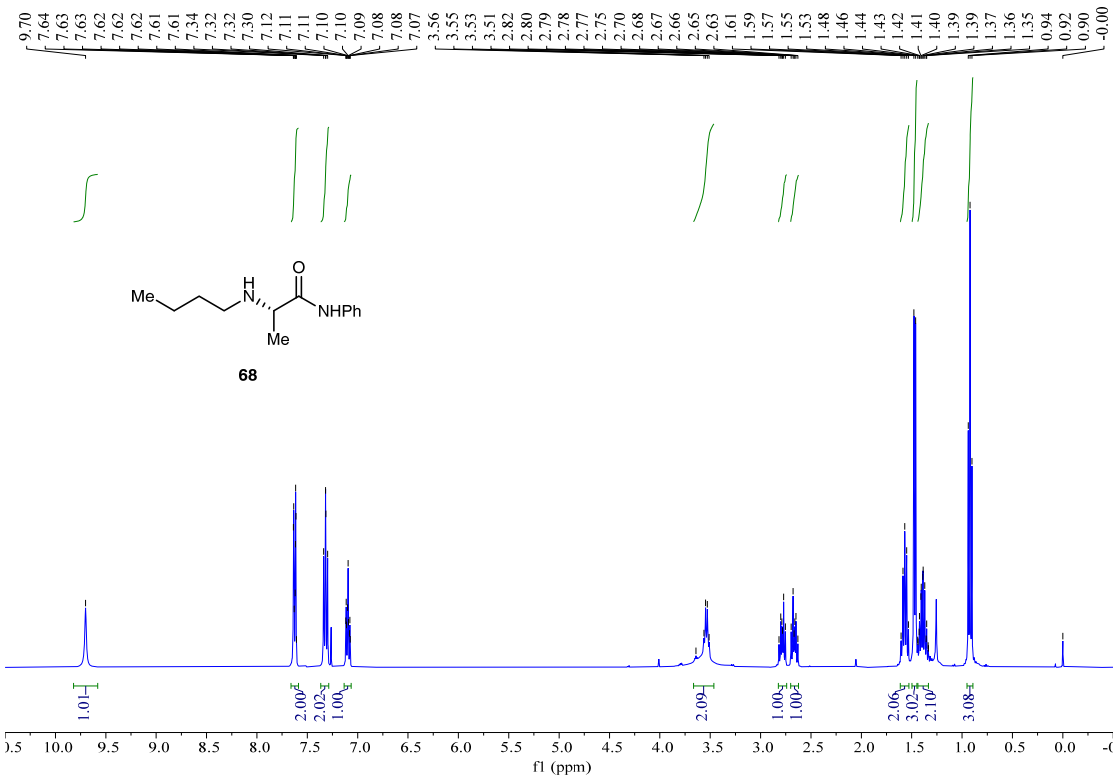
128.96
124.18
119.43
118.56
77.32
77.00
76.68
58.52
43.88
19.64
19.16
NC
H
N
O
NHPh
Me
65
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

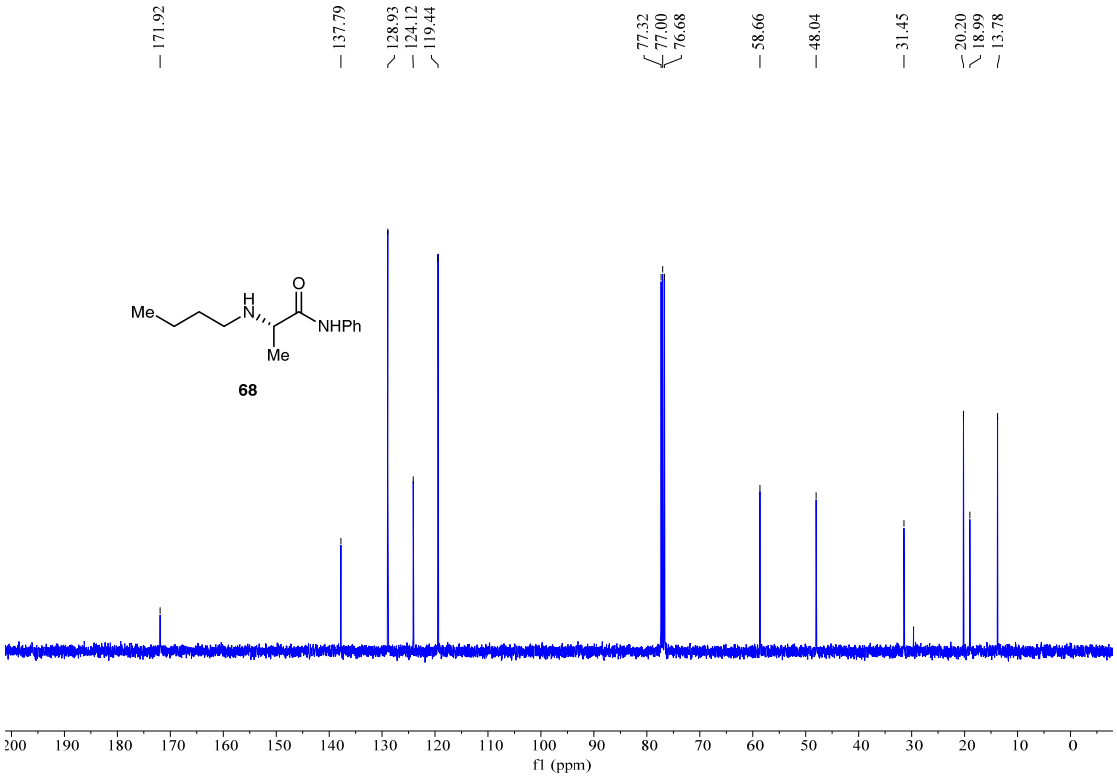

9.41 7.70 7.69 7.69 7.69 7.68 7.68 7.67 7.67 7.35 7.34 7.34 7.33 7.33 7.32 7.31 7.31 7.27 7.11 7.11 7.10 7.09 7.09 7.08 7.07 7.07
3.73 3.30 3.29 3.27 3.25 2.99 2.99 2.99 2.97 2.97 2.96 2.96 2.94 2.92 2.91 2.90 2.89 2.89 2.88 2.87 2.86 2.55 2.54 2.54 2.54 2.53 2.52 2.51 1.65 1.40 1.39 0.00
MeO
O
H
N
O
NHPh
Me
66
1.00 2.04 2.07 1.03 3.00 1.04 2.17 2.17 1.05 3.04
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.98 172.86 138.08 128.92 123.95 119.55 77.35 77.03 76.72 58.83 51.85 43.68 34.24 19.82
MeO
O
H
N
O
NHPh
Me
66
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


9.71 7.73 7.72 7.70 7.70 7.34 7.33 7.32 7.30 7.30 7.11 7.10 7.10 7.08 7.07 7.07 7.06 7.06 3.70 3.69 3.68 3.66 3.66 3.65 3.64 3.64 3.63 3.62 3.61 3.46 3.44 3.43 3.39 3.37 3.35 3.33 3.05 3.04 3.03 3.02 3.01 3.00 2.95 2.94 2.94 2.93 2.91 2.91 2.90 2.54 2.53 2.52 2.52 2.51 2.50 2.29 1.42 1.41 0.00
O
N
O
H
N
O
NHPh
Me
67
1.00
2.00
2.02
1.03
6.36
2.07
1.04
1.03
1.04
2.26
1.18
3.02
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.97
170.07
138.18
128.82
123.84
119.53
77.32
77.00
76.68
66.75
66.40
58.80
45.62
43.53
41.88
32.36
19.71
O
N
O
H
N
O
NHPh
Me
67
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


9.70 7.64 7.63 7.63 7.62 7.62 7.62 7.61 7.61 7.34 7.32 7.32 7.30 7.12 7.11 7.11 7.10 7.10 7.09 7.08 7.08 7.07
3.56 3.55 3.53 3.51 2.82 2.80 2.79 2.78 2.77 2.75 2.70 2.68 2.67 2.66 2.65 2.63 1.61 1.59 1.57 1.55 1.53 1.48 1.46 1.44 1.43 1.42 1.41 1.40 1.39 1.39 1.37 1.36 1.35 0.94 0.92 0.90 -0.00
Me
H
N
O
NHPh
Me
68
1.01 2.00 2.02 1.00 2.09 1.00 1.00 2.06 3.02 2.10 3.08
f1 (ppm)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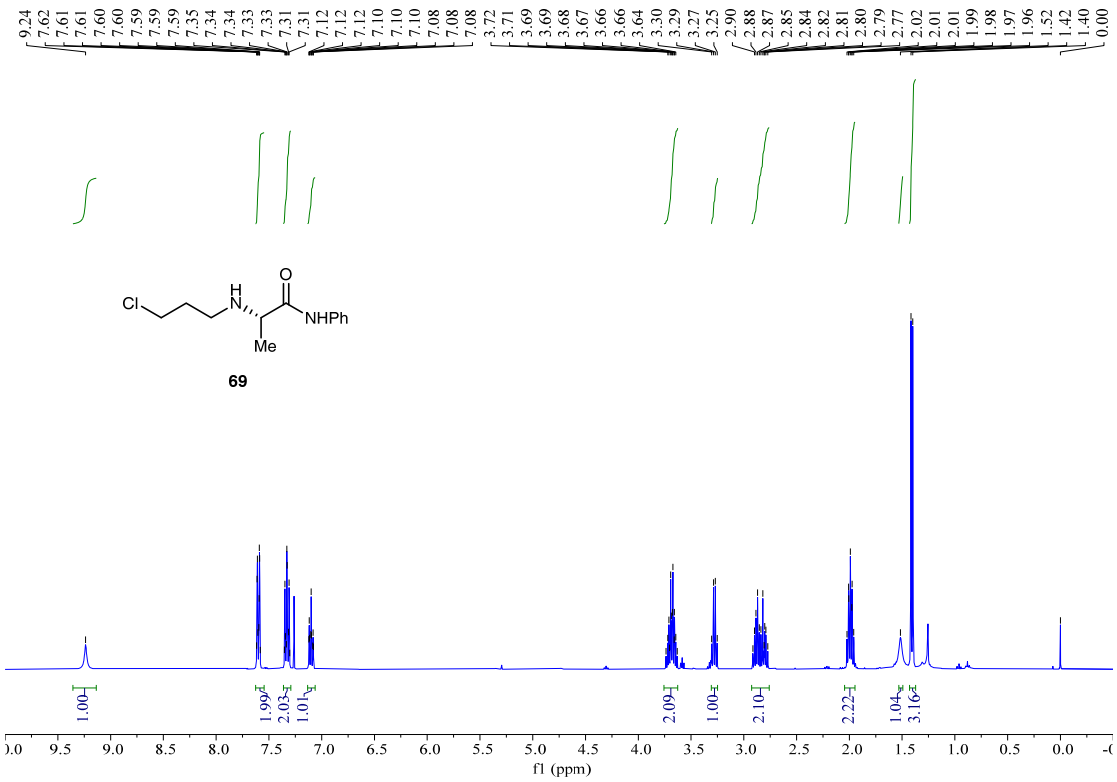
137.79
128.93
124.12
119.44
77.32
77.00
76.68
58.66
48.04
31.45
20.20
18.99
13.78
Me
H
N
O
NHPh
Me
68
f1 (ppm)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3.72 3.71 3.69 3.69 3.68 3.67 3.66 3.66 3.64 3.30 3.29 3.27 3.25 2.90 2.88 2.87 2.85 2.84 2.82 2.81 2.80 2.79 2.77 2.02 2.01 2.01 1.99 1.98 1.97 1.96 1.52 1.42 1.40 0.00
Cl
H
N
O
NHPh
Me
69
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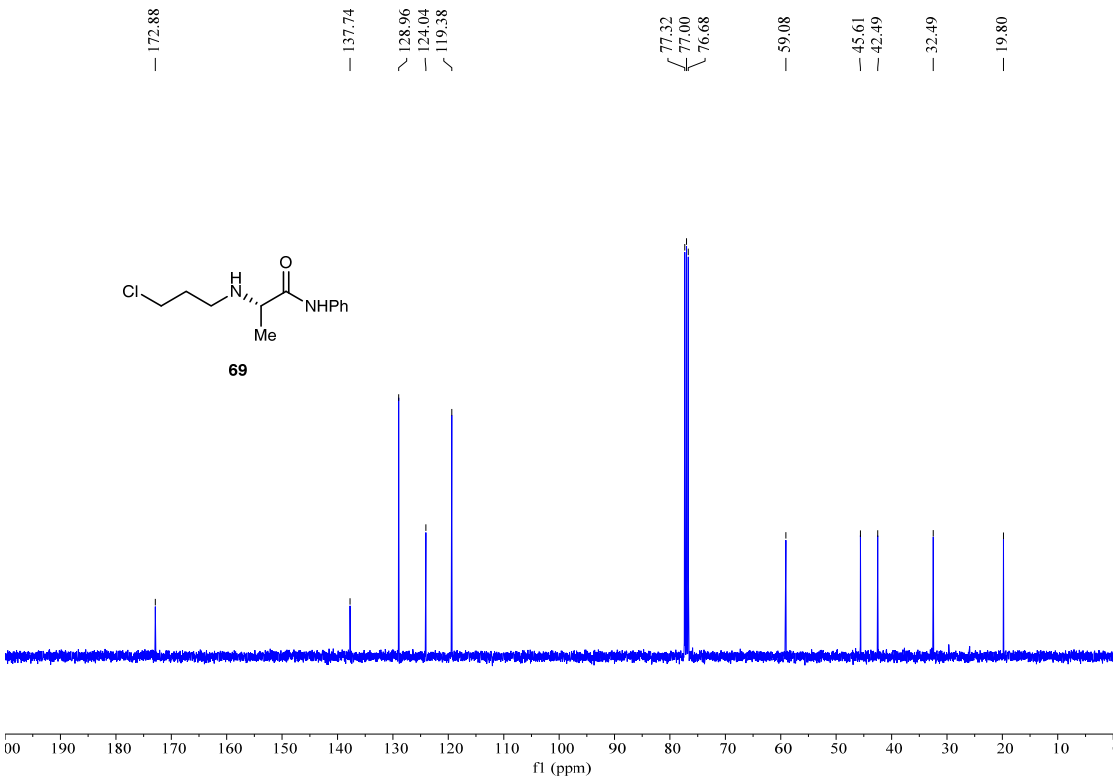
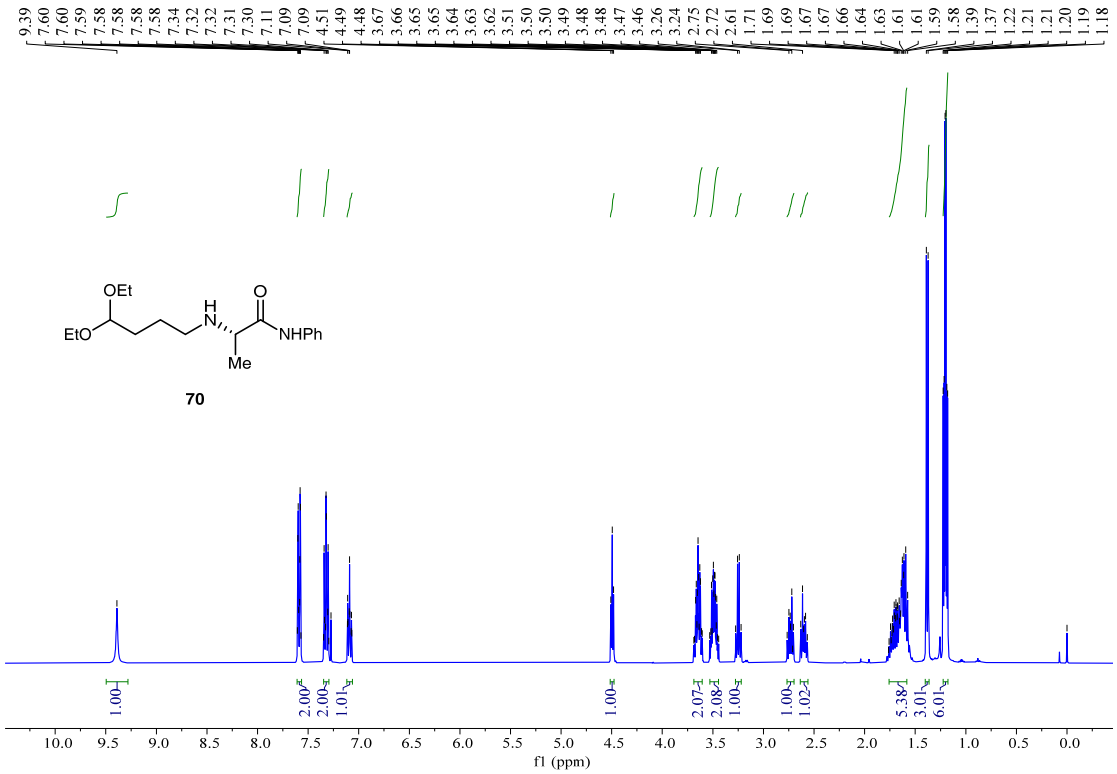
f1 (ppm)


172.88 137.74 128.96 124.04 119.38 77.32 77.00 76.68 59.08 45.61 42.49 32.49 19.80
Cl
H
N
O
NHPh
Me
69
f1 (ppm)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OEt
EtO
H
N
O
NHPh
Me
70
1.00 2.00 2.00 1.01 1.00 2.07 2.08 1.00 1.00 1.02 5.38 3.01 6.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
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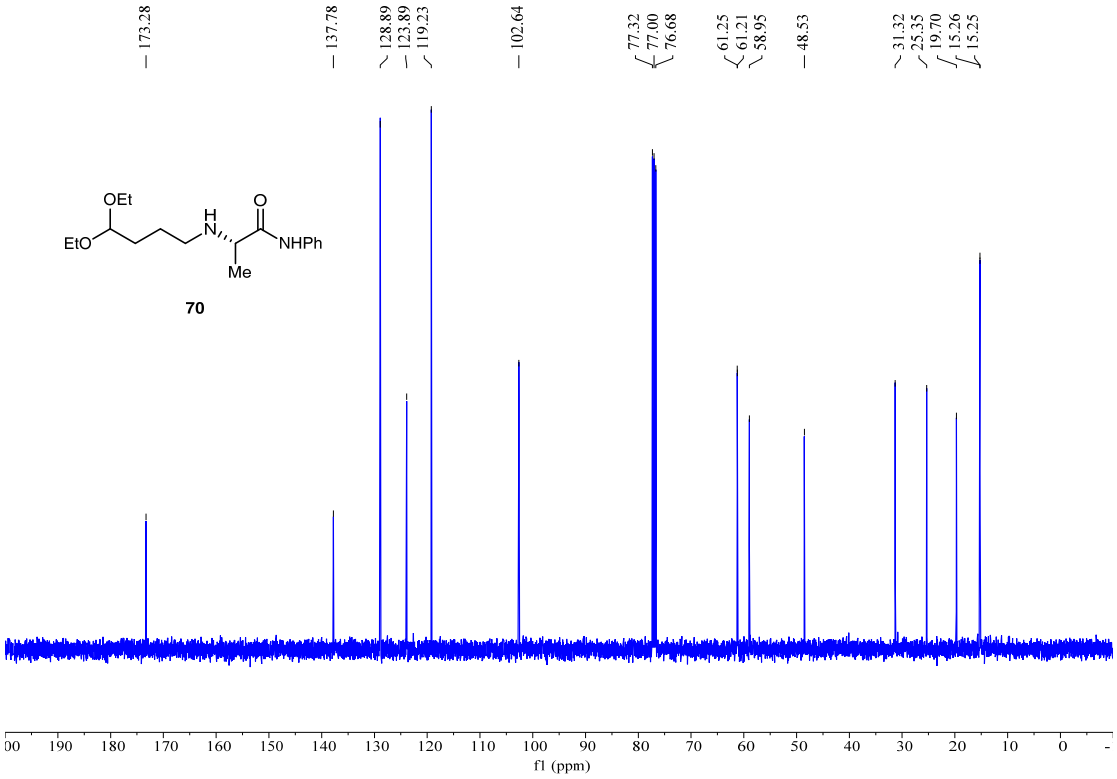
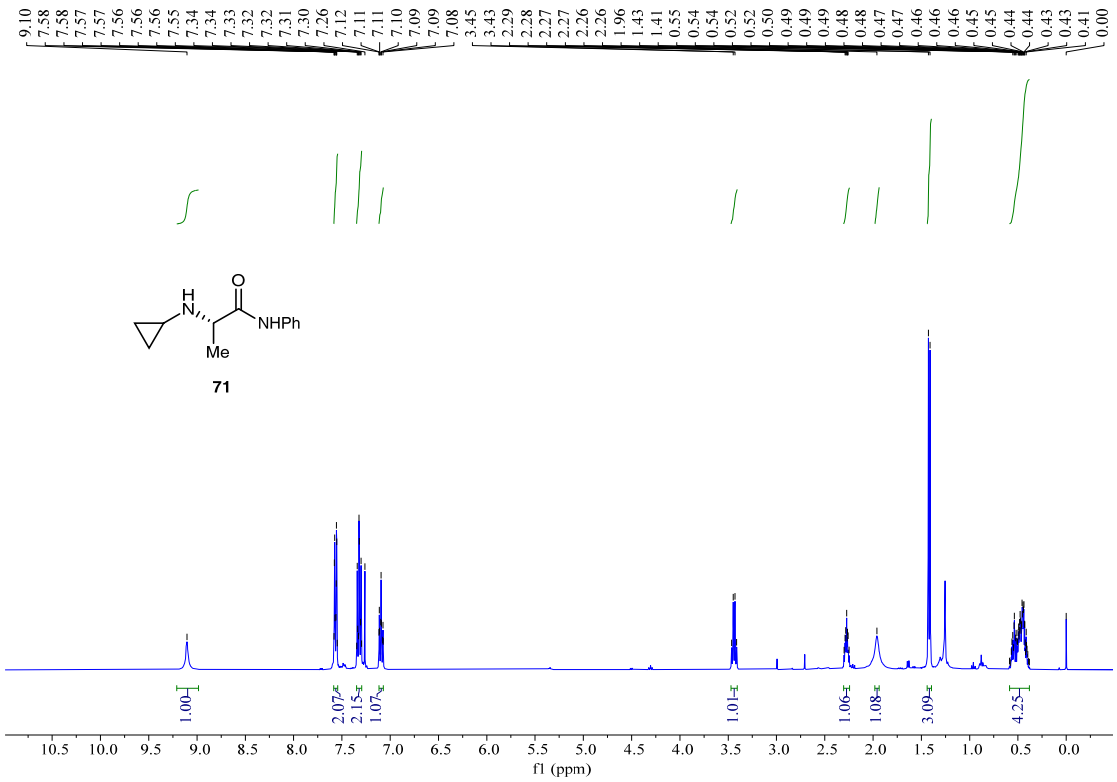

173.28 137.78 128.89 123.89 119.23 102.64 77.32 77.00 76.68 61.25 61.21 58.95 48.53 31.32 25.35 19.70 15.26 15.25
OEt
EtO
H
N
O
NHPh
Me
70
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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H
N
O
NHPh
Me
71
1.00 2.07 2.15 1.07 1.01 1.06 1.08 3.09 4.25
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
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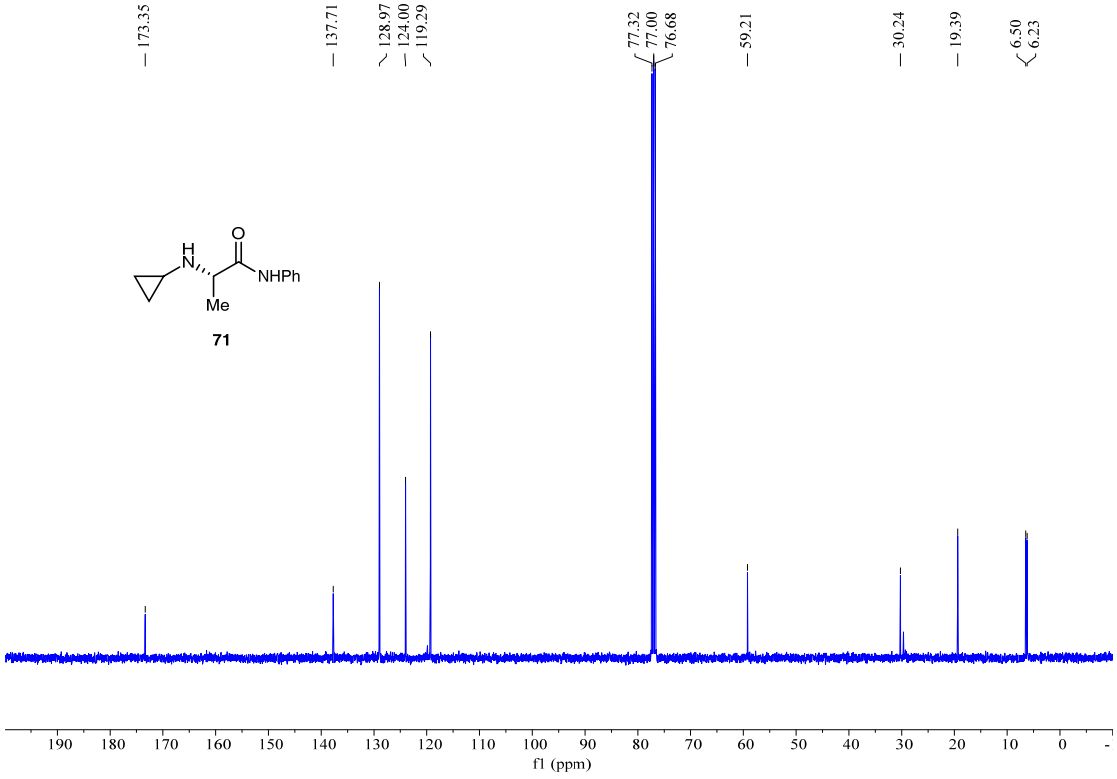
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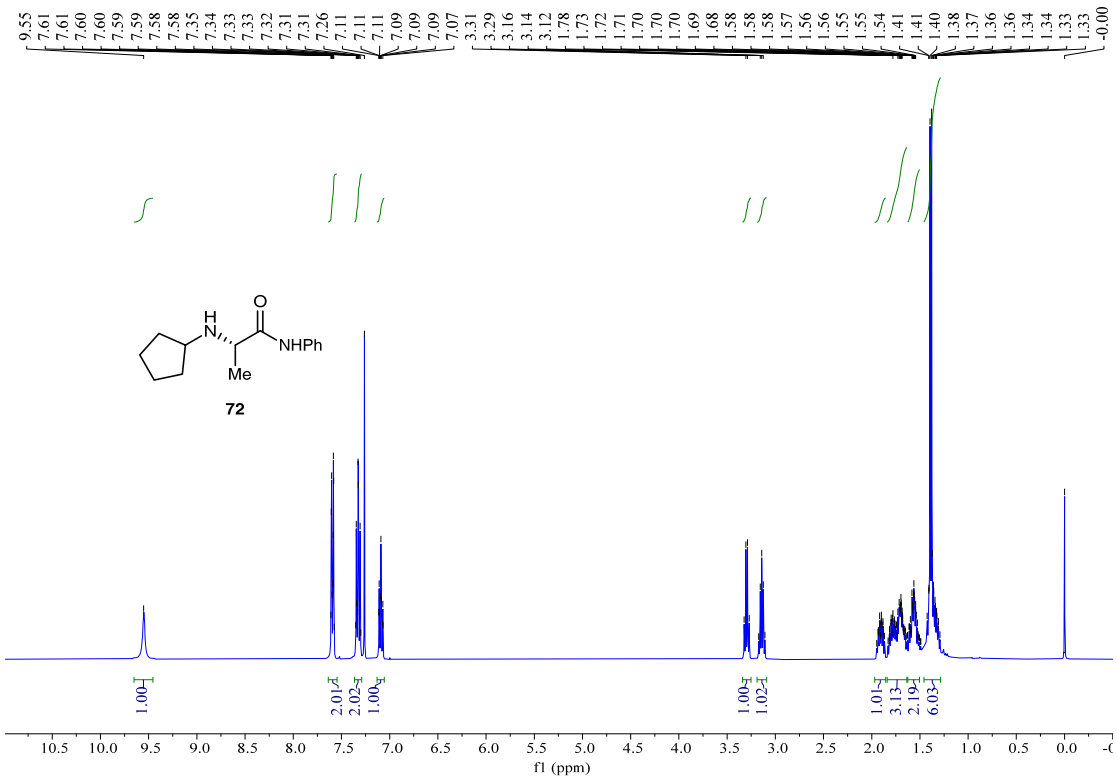
H
N
O
NHPh
Me
71
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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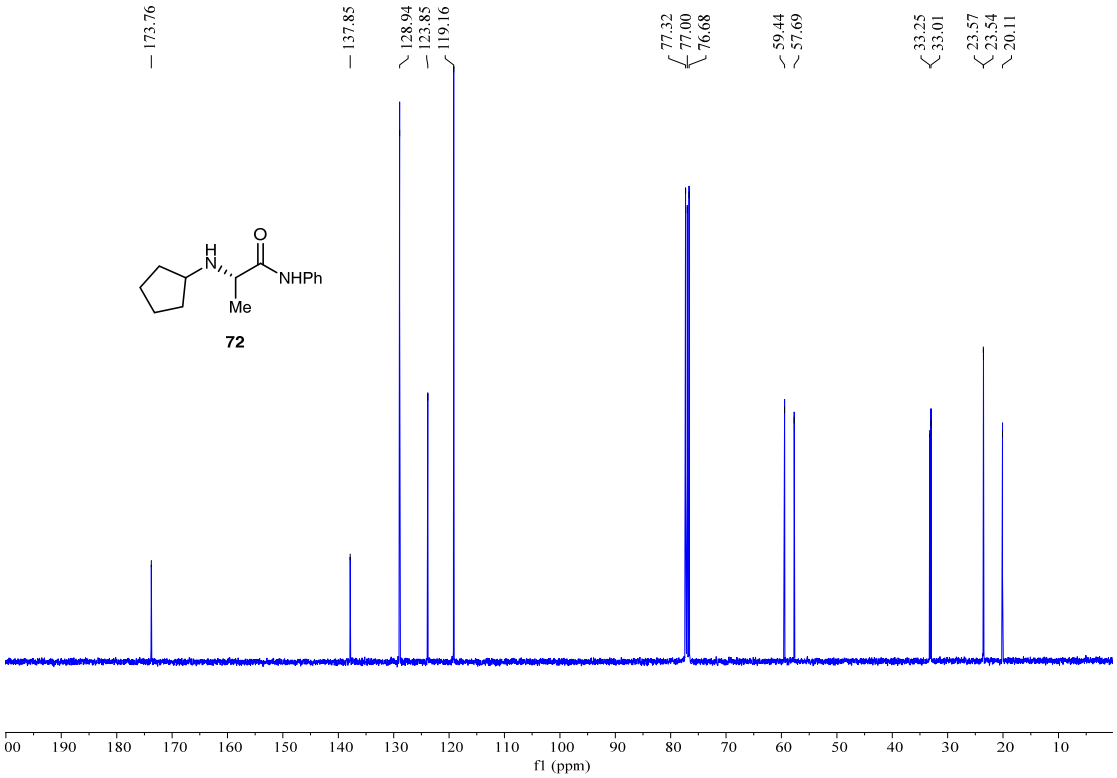
H
N
O
NHPh
Me
72
1.00 2.01 2.02 1.00 1.00 1.02 1.01 3.13 2.19 6.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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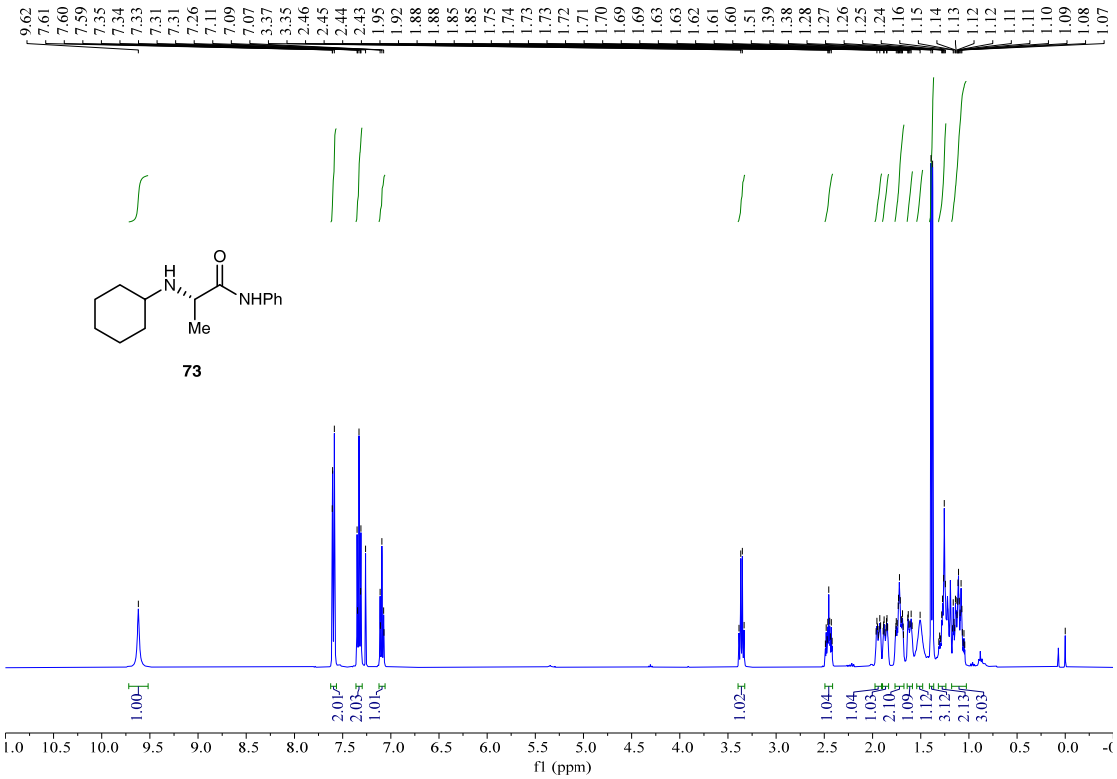
H
N
O
NHPh
Me
72
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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H
N
O
NHPh
Me
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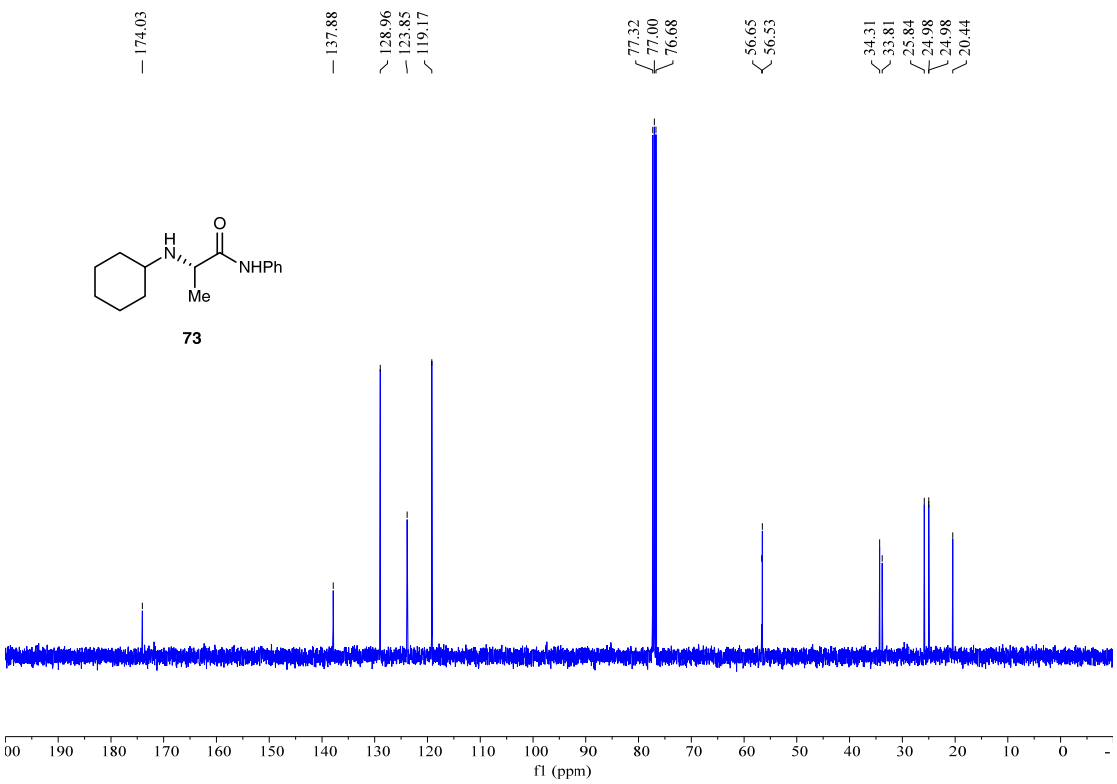
f1 (ppm)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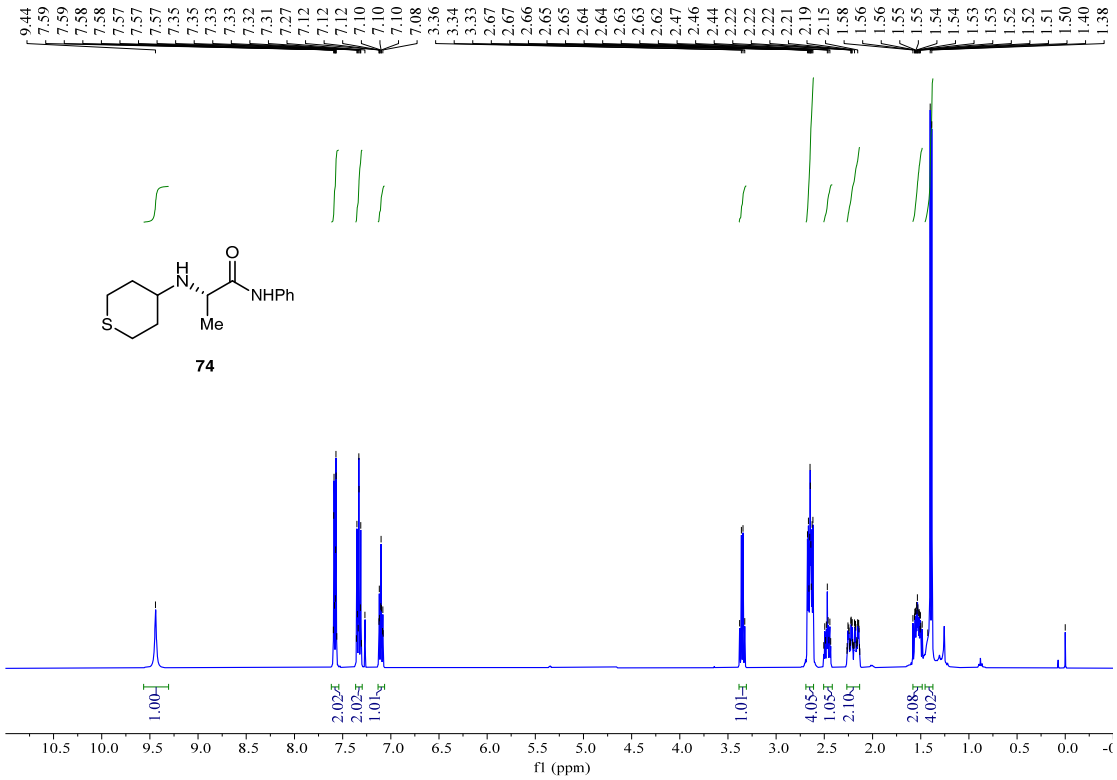
H
N
O
NHPh
Me
73
f1 (ppm)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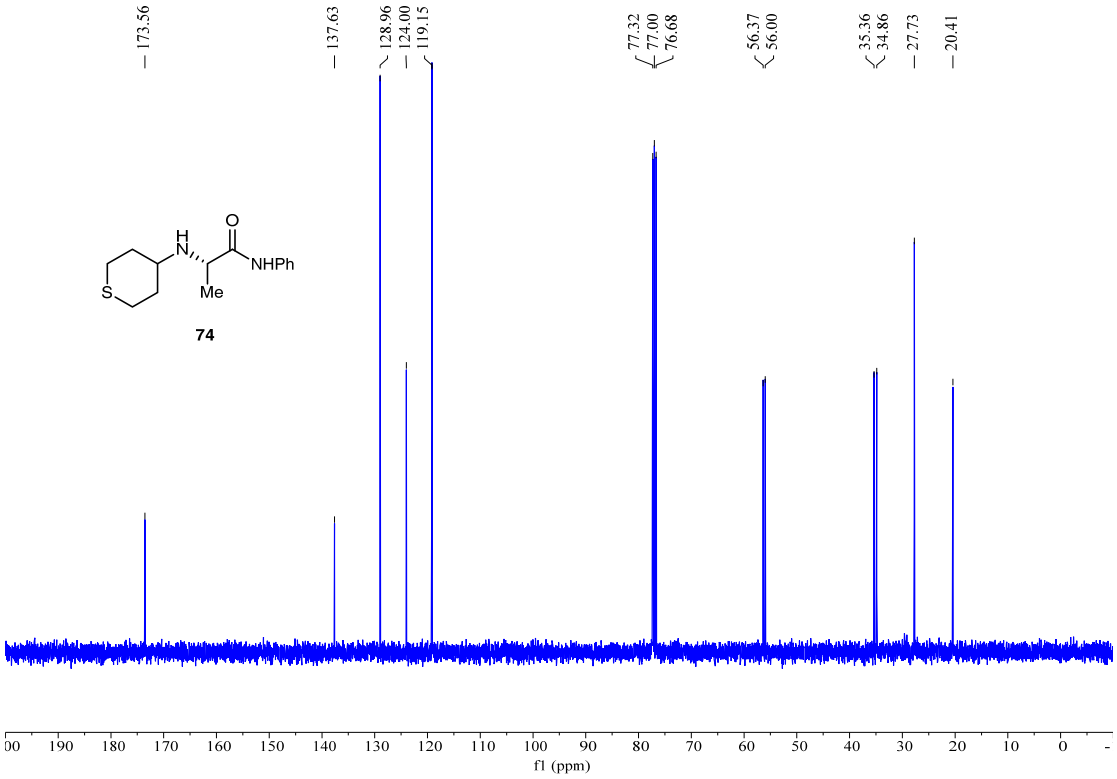
3.36 3.34 3.33 2.67 2.67 2.66 2.65 2.65 2.64 2.64 2.63 2.63 2.62 2.47 2.46 2.44 2.22 2.22 2.22 2.21 2.19 2.15 1.58 1.56 1.56 1.55 1.55 1.54 1.54 1.53 1.53 1.52 1.52 1.51 1.50 1.40 1.38
H
N
O
NHPh
Me
S
74
1.00 2.02 2.02 1.01 1.01 4.05 1.05 2.10 2.08 4.02
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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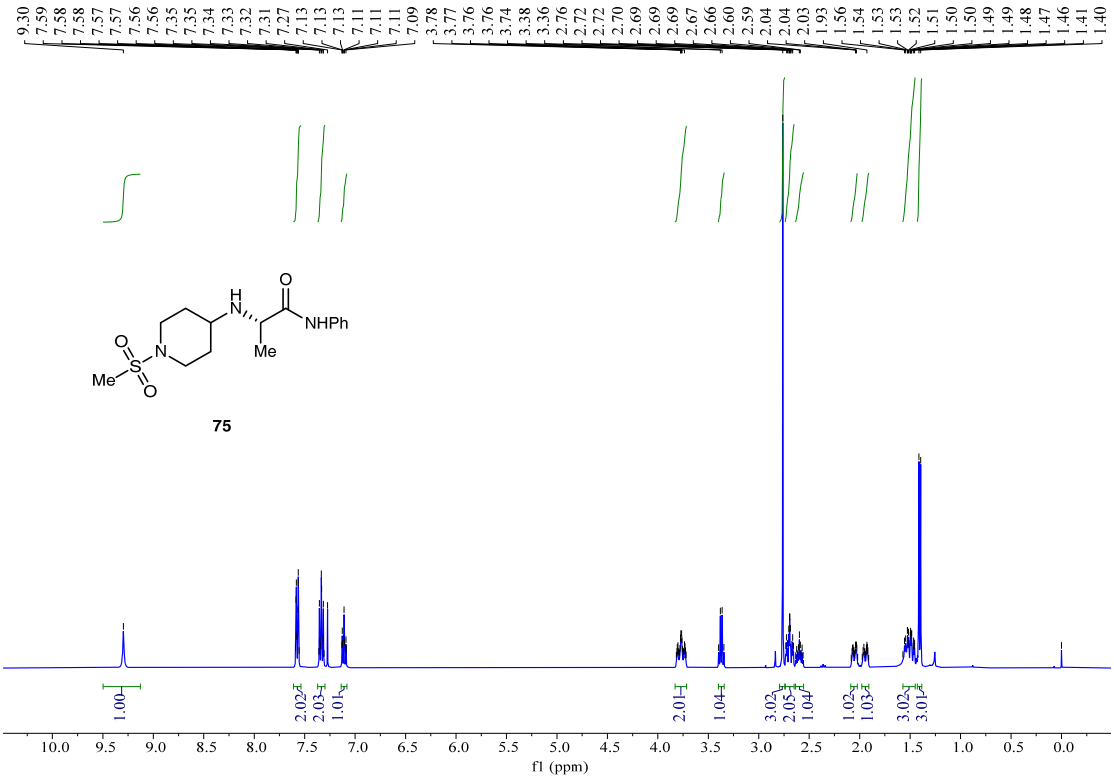
H
N
O
NHPh
Me
S
74
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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3.78 3.77 3.76 3.76 3.74 3.38 3.36 2.76 2.72 2.72 2.70 2.69 2.69 2.69 2.67 2.66 2.60 2.59 2.04 2.04 2.03 1.93 1.56 1.54 1.53 1.53 1.52 1.51 1.50 1.50 1.49 1.49 1.48 1.47 1.46 1.41 1.40
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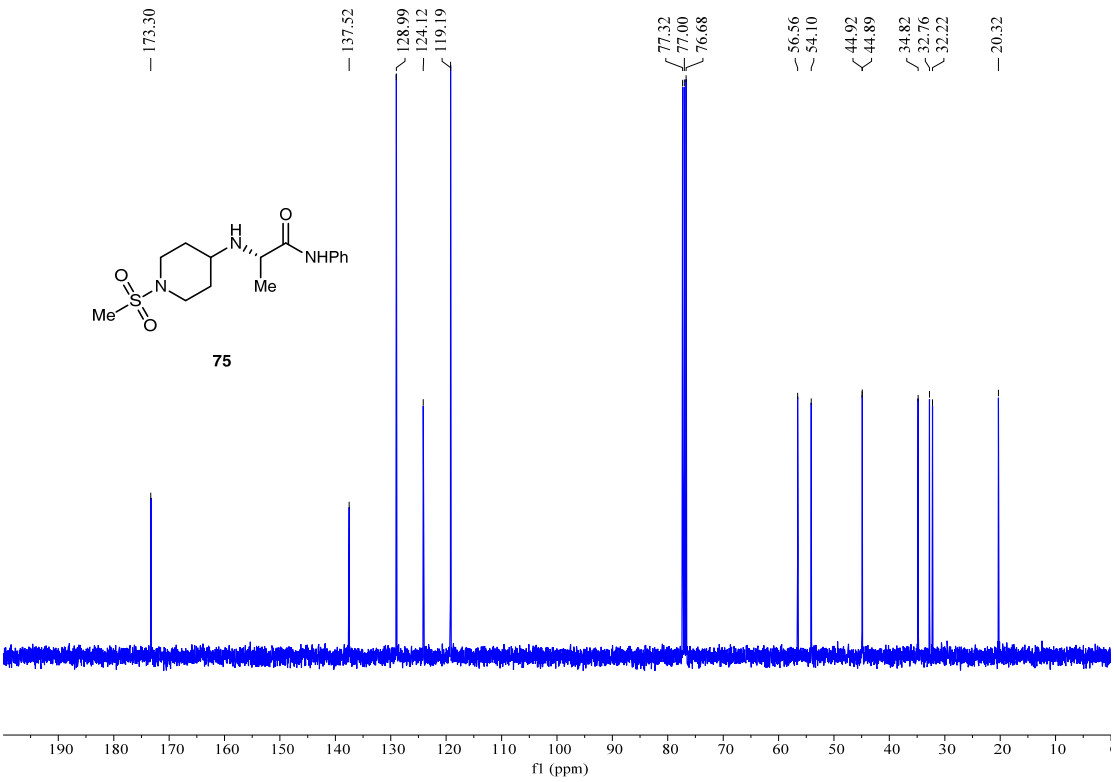
f1 (ppm)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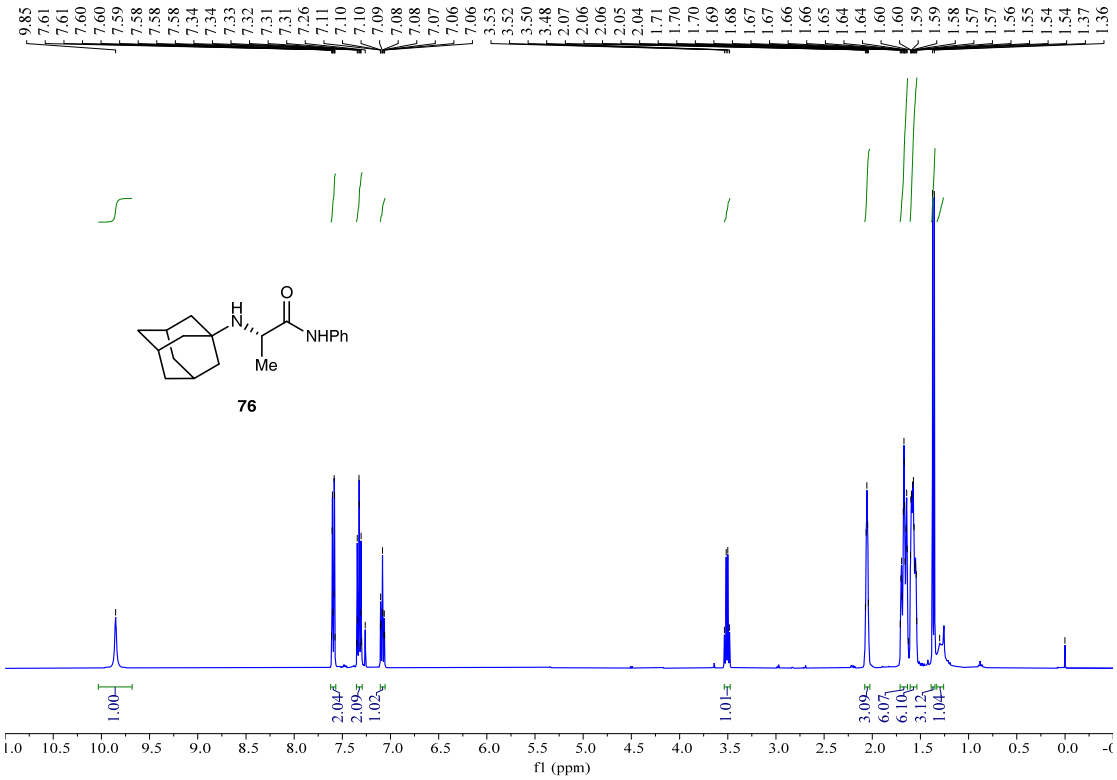
32.76
32.22
20.32
NHPh
Me
Me
75
f1 (ppm)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3.53 3.52 3.50 3.48 2.07 2.06 2.06 2.05 2.04 1.71 1.70 1.70 1.69 1.68 1.67 1.67 1.66 1.66 1.65 1.64 1.64 1.60 1.60 1.59 1.59 1.58 1.57 1.57 1.56 1.55 1.54 1.54 1.37 1.36
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NHPh
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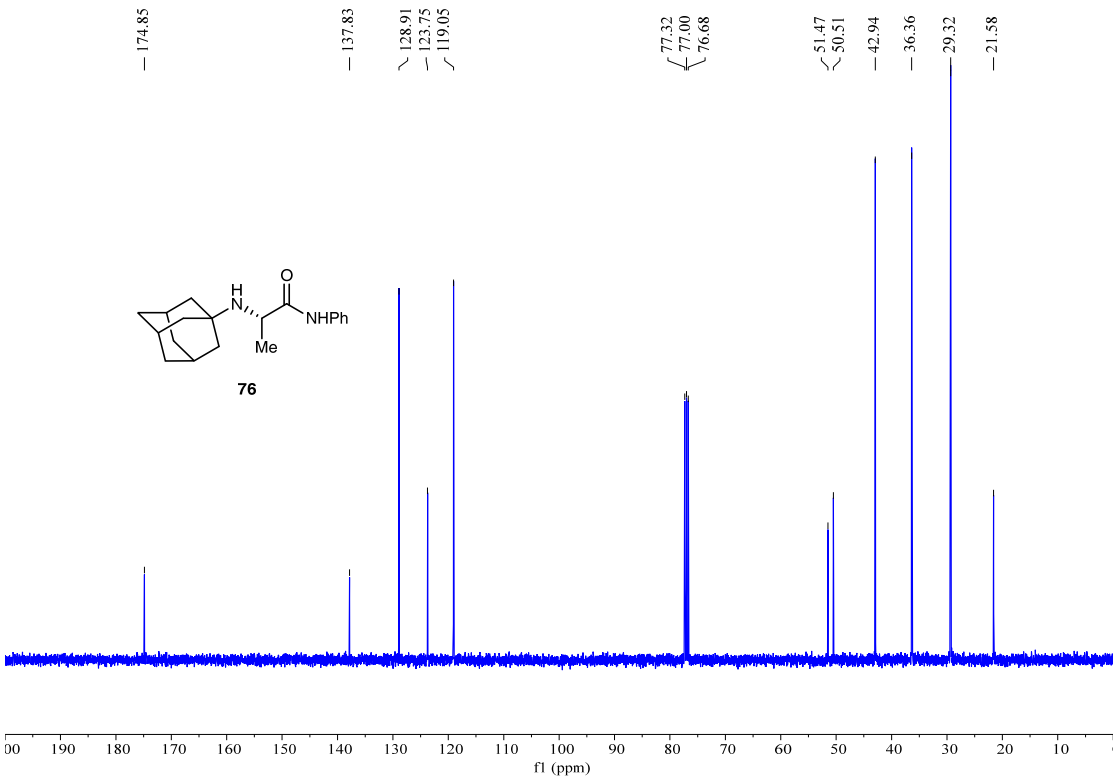
f1 (ppm)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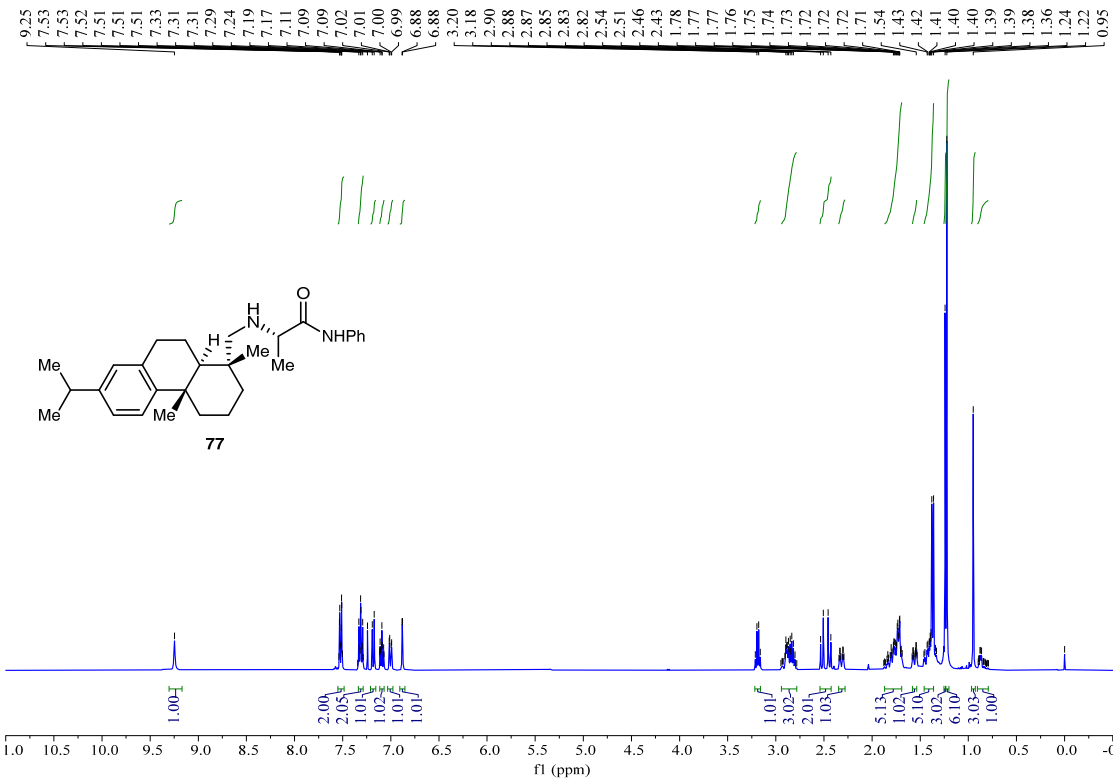
H
N
O
NHPh
Me
76
f1 (ppm)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NHPh
Me
Me
Me
Me
77
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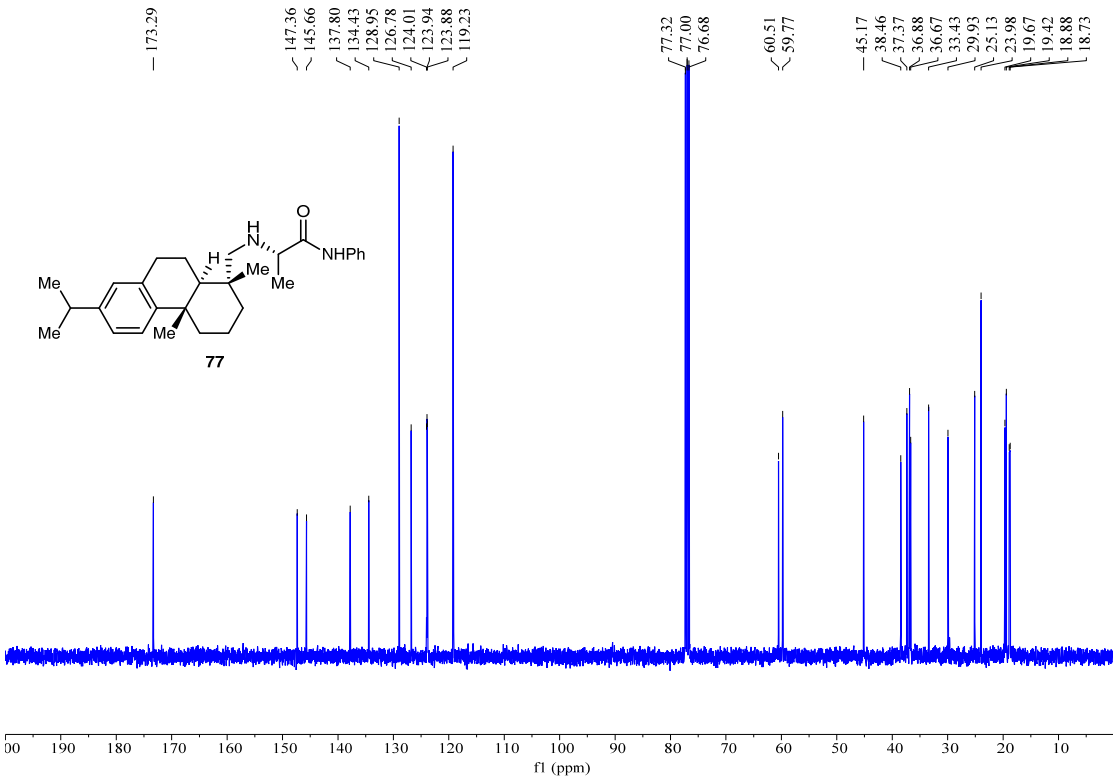
fl (ppm)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77.32 77.00 76.68 60.51 59.77 45.17 38.46 37.37 36.88 36.67 33.43 29.93 25.13 23.98 19.67 19.42 18.88 18.73
NHPh
Me
Me
Me
Me
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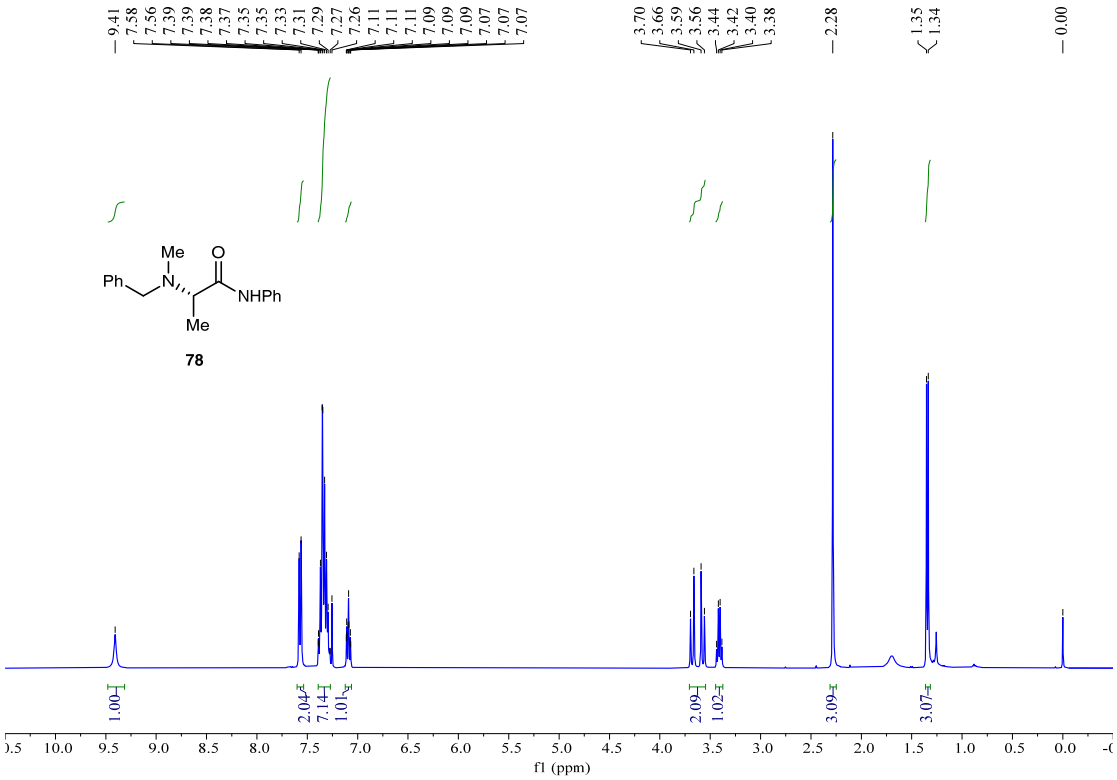
fl (ppm)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7.09
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3.66
3.59
3.56
3.44
3.42
3.40
3.38
2.28
1.35
1.34
0.00
Me
O
Ph
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NHPh
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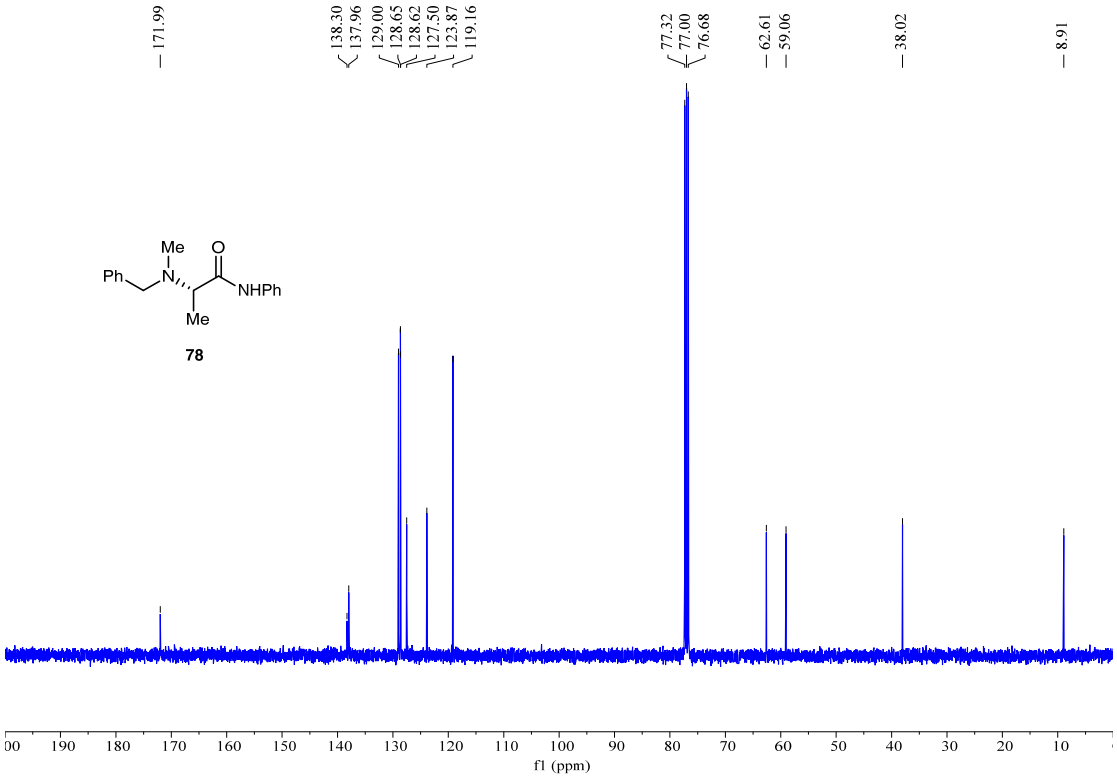
1.00
2.04
7.14
1.01
2.09
1.02
3.09
3.07
f1 (ppm)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127.50
123.87
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77.32
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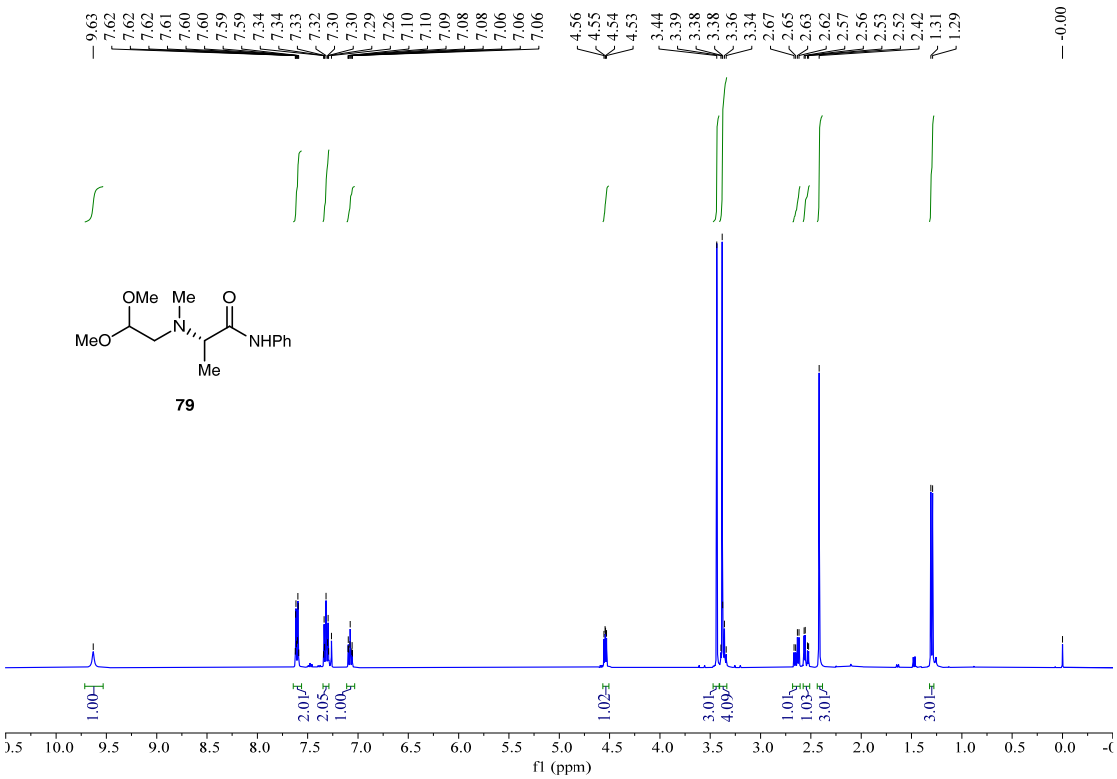
76.68
62.61
59.06
38.02
8.91
Me
O
Ph
N
NHPh
Me
78
f1 (ppm)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OMe
Me
O
MeO
N
NHPh
Me
79
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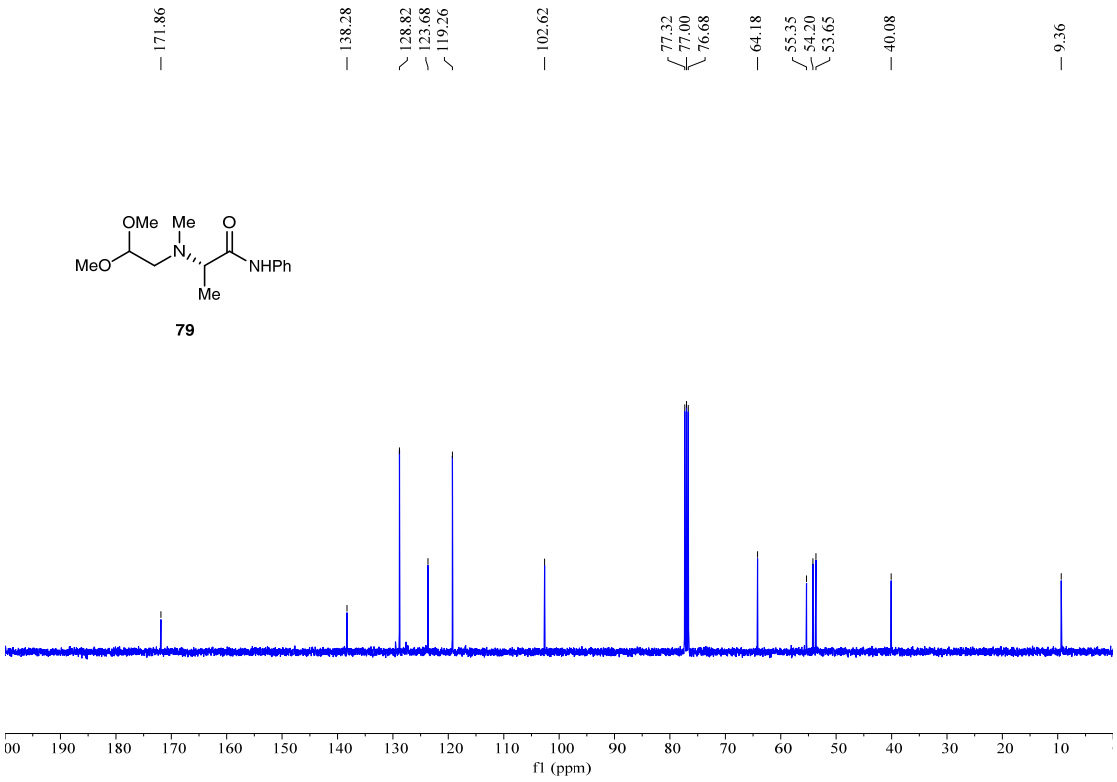
1.00
1.02
3.01
4.09
1.01
1.03
3.01
3.01
fl (ppm)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40.08
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OMe
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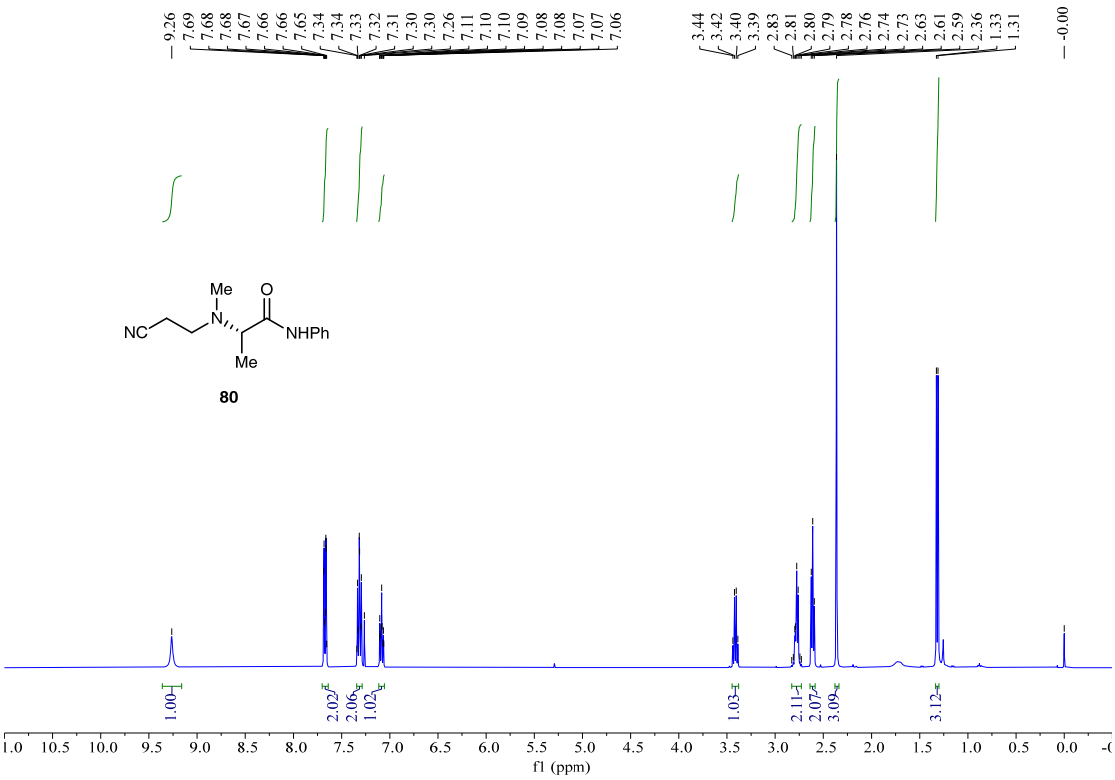
O
MeO
N
NHPh
Me
79
fl (ppm)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2.63
2.61
2.59
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1.33
1.31
-0.00
Me
O
N
NC
NHPh
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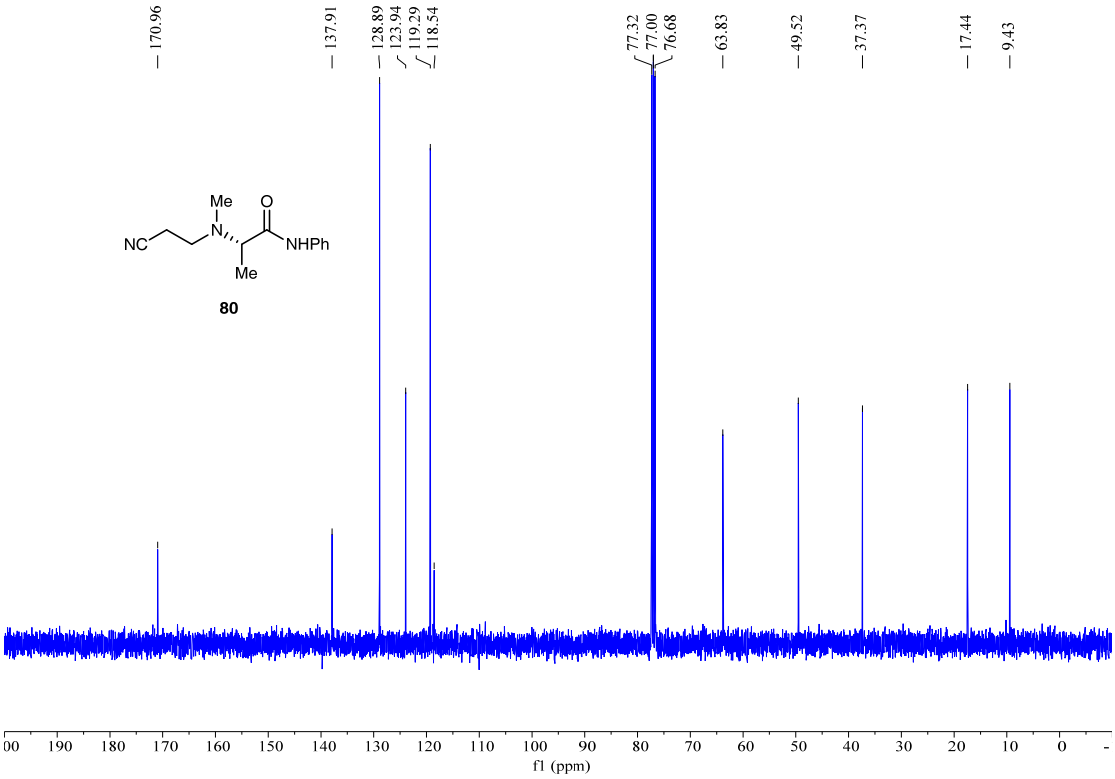
2.06
1.02
1.03
2.11
2.07
3.09
3.12
fl (ppm)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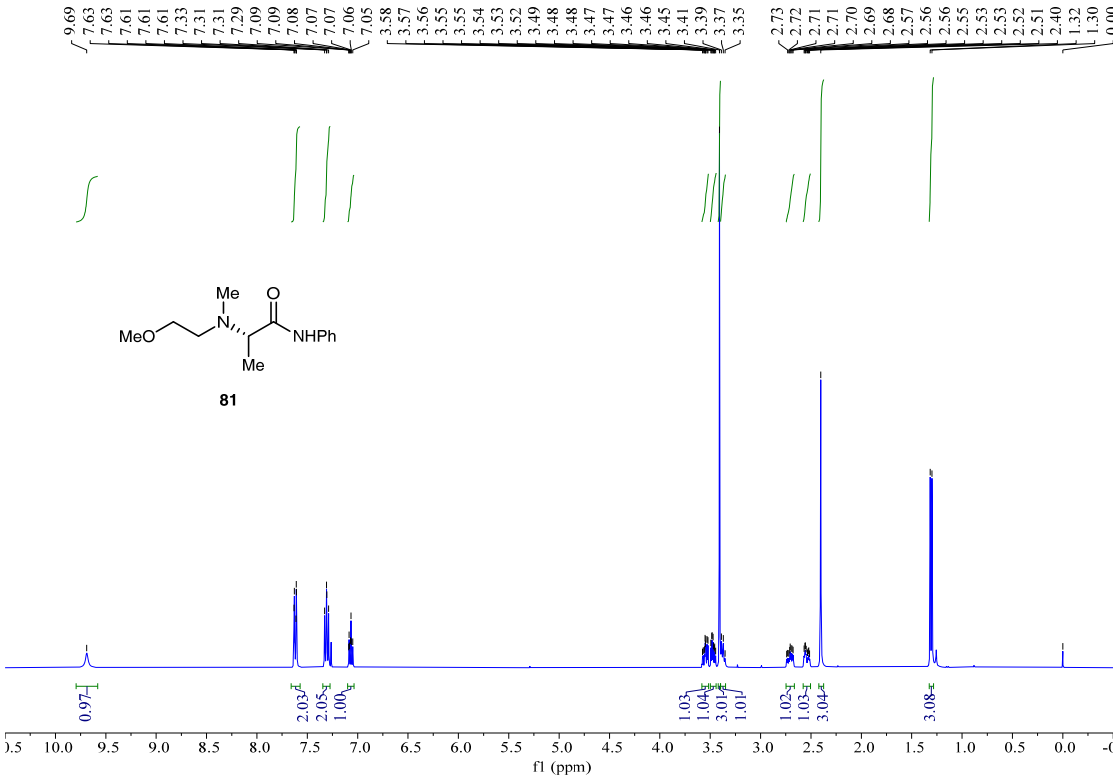
49.52
37.37
17.44
9.43
Me
O
N
NC
NHPh
Me
80
fl (ppm)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N
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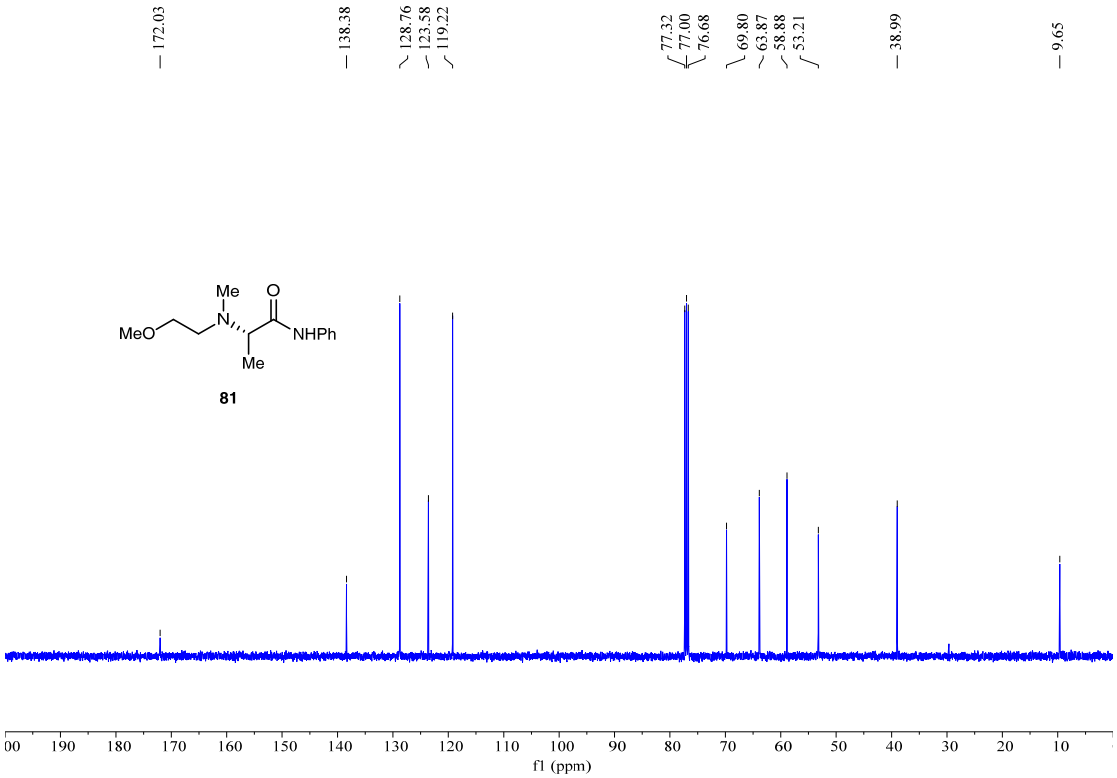
f1 (ppm)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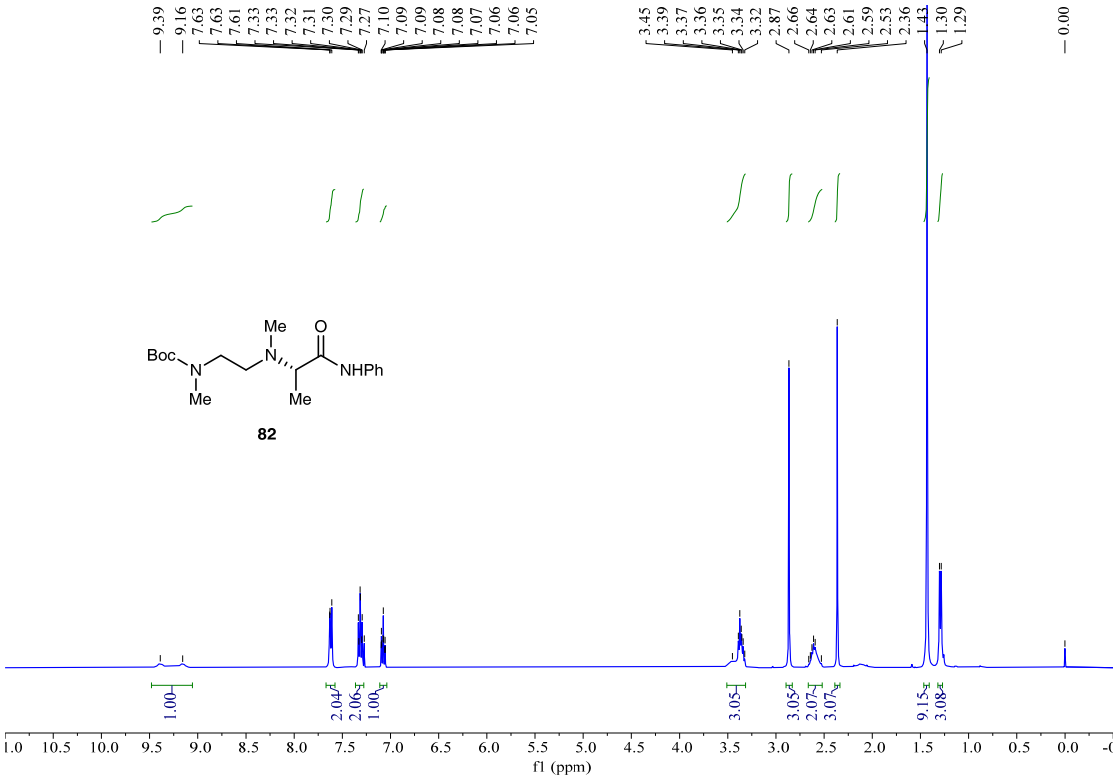
MeO
N
NHPh
Me
81
f1 (ppm)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7.32
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7.30
7.29
7.27
7.10
7.09
7.09
7.08
7.08
7.07
7.06
7.06
7.05
3.45
3.39
3.37
3.36
3.35
3.34
3.32
2.87
2.66
2.64
2.63
2.61
2.59
2.53
2.36
1.43
1.30
1.29
0.00
Boc
N
Me
N
Me
O
NHPh
Me
Me
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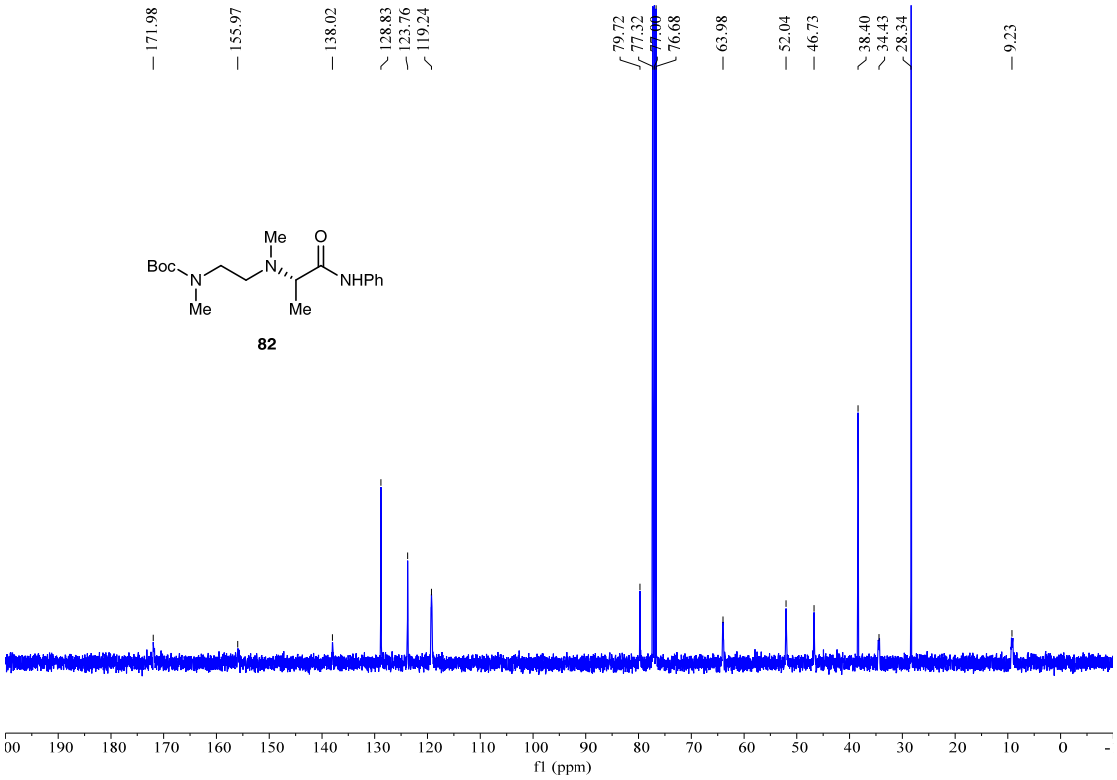
2.06
1.00
3.05
3.05
2.07
3.07
9.15
3.08
f1 (ppm)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46.73
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9.23
Boc
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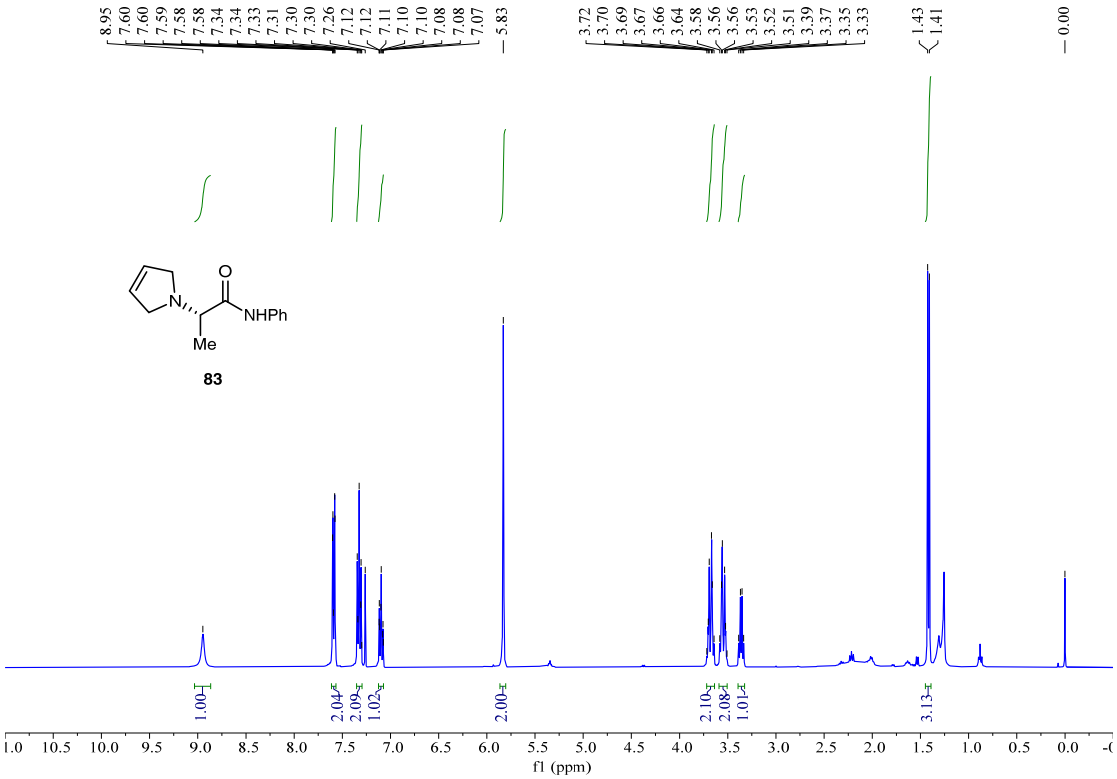
Me
N
Me
O
NHPh
Me
Me
82
f1 (ppm)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7.60
7.59
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7.58
7.34
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7.12
7.11
7.10
7.10
7.08
7.08
7.07
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3.67
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3.56
3.56
3.53
3.52
3.51
3.39
3.37
3.35
3.33
1.43
1.41
0.00
O
NHPh
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2.04
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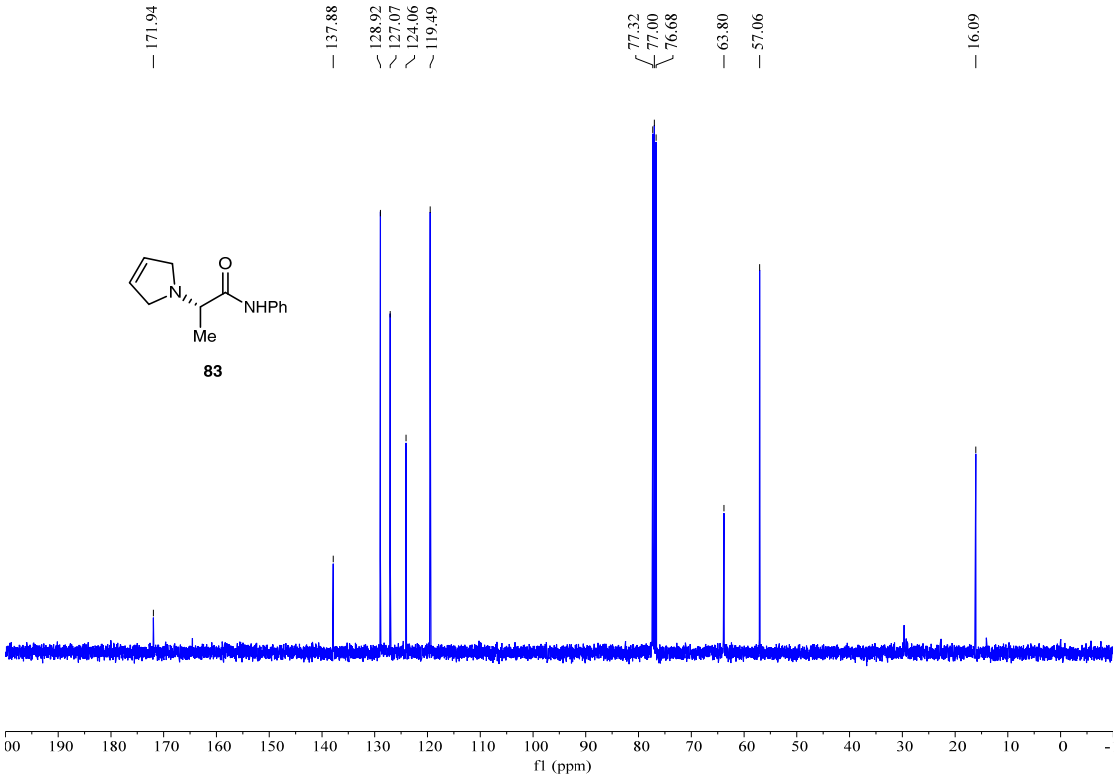
1.02
2.00
2.10
2.08
1.01
3.13
f1 (ppm)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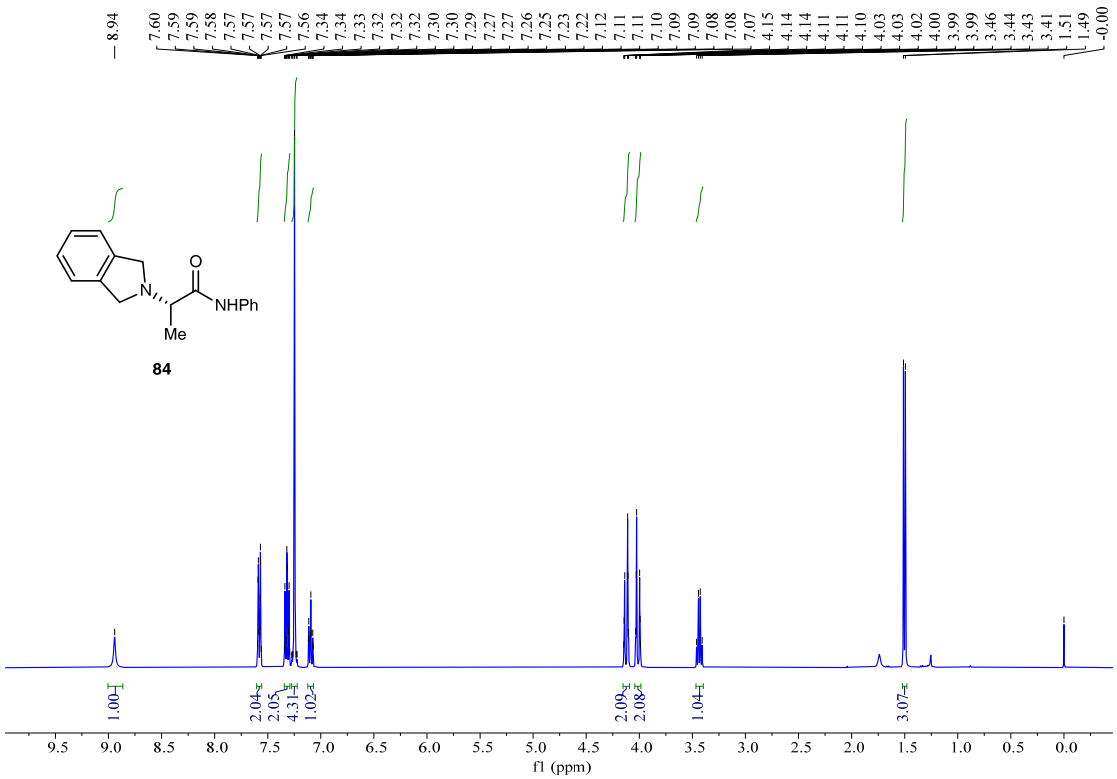
N
Me
83
f1 (ppm)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7.56
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7.34
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7.32
7.32
7.32
7.30
7.30
7.29
7.27
7.27
7.26
7.25
7.23
7.22
7.12
7.11
7.11
7.10
7.09
7.09
7.08
7.08
7.07
4.15
4.14
4.14
4.11
4.11
4.10
4.03
4.03
4.02
4.00
3.99
3.99
3.46
3.44
3.43
3.41
1.51
1.49
-0.00
O
NHPh
N
Me
84
1.00
2.04
2.05
4.31
1.02
2.09
2.08
1.04
3.07
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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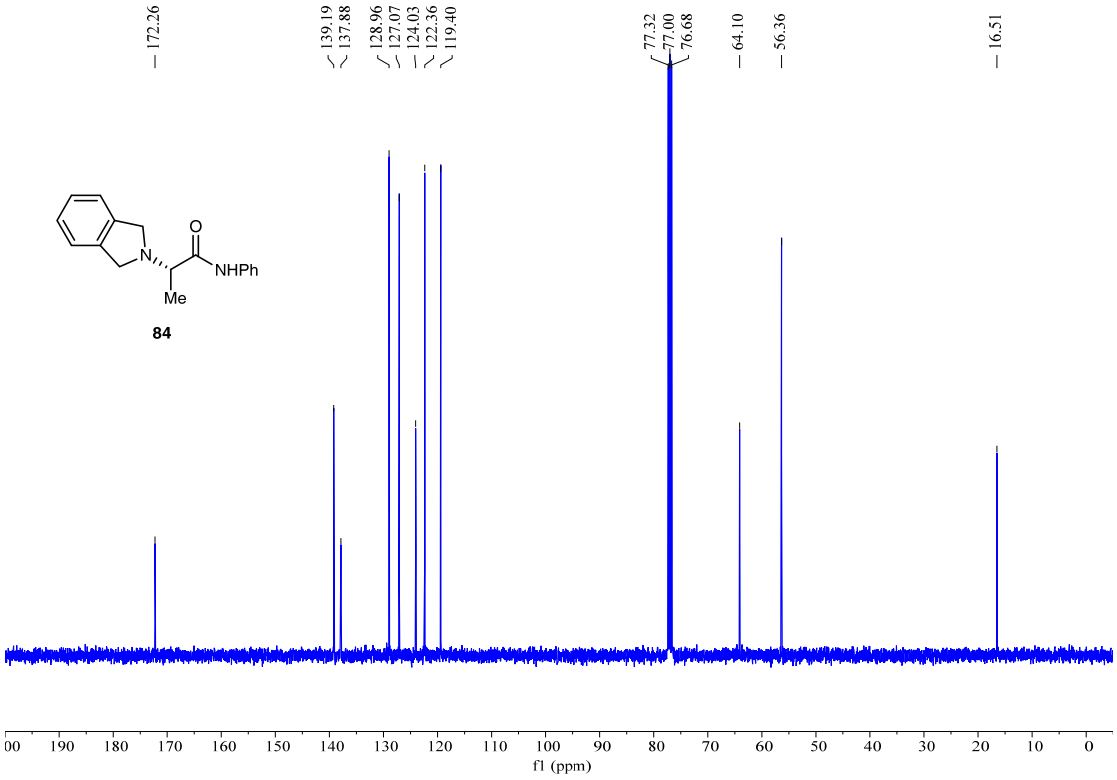
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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137.88
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127.07
124.03
122.36
119.40
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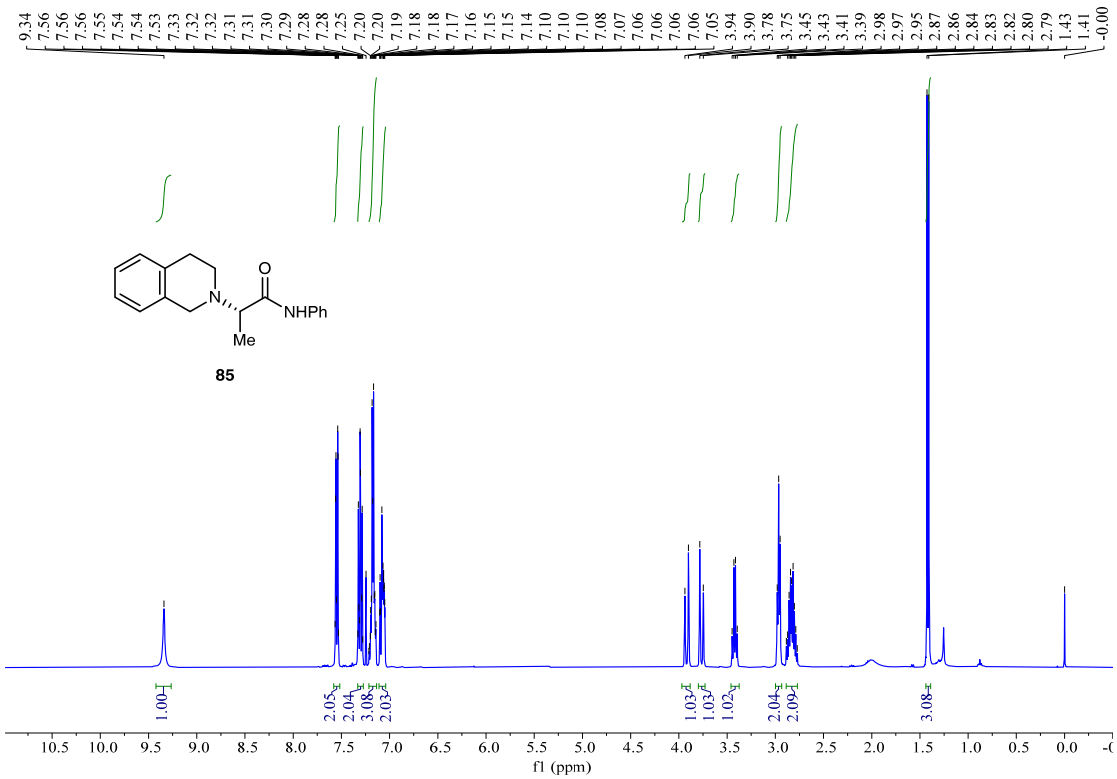
77.00
76.68
64.10
56.36
16.51
O
NHPh
N
Me
84
00
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.56
7.56
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7.54
7.53
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7.32
7.32
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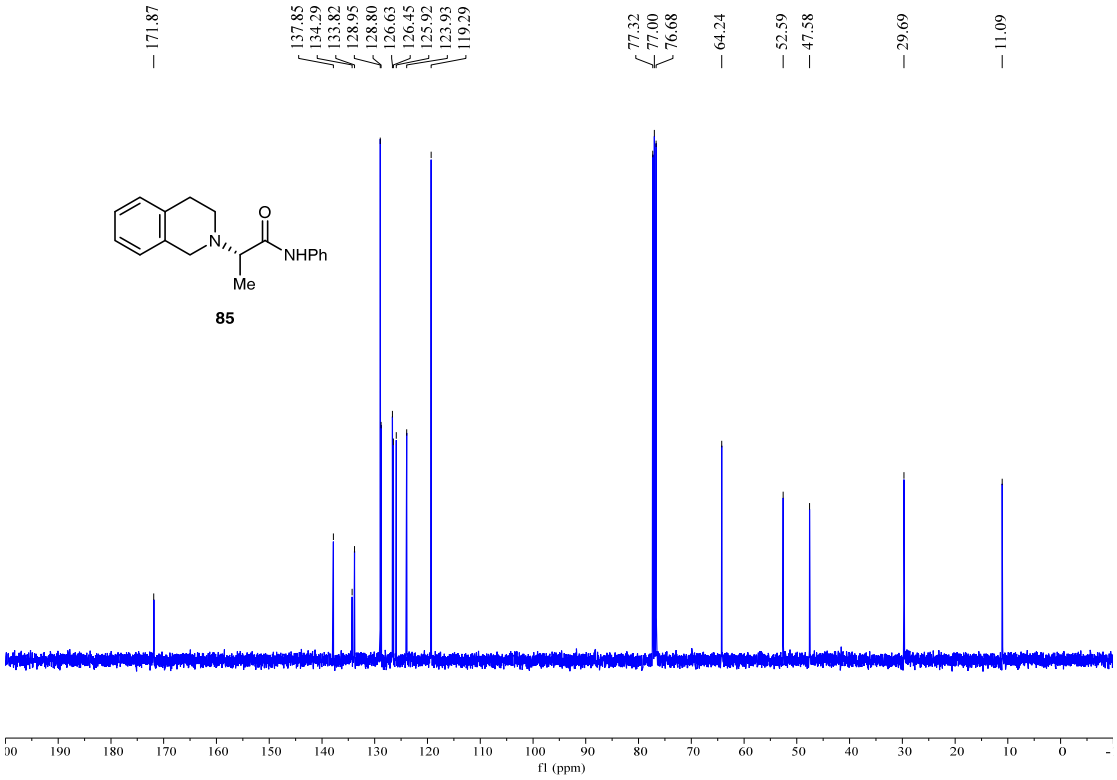
7.31
7.30
7.29
7.28
7.28
7.25
7.20
7.20
7.19
7.18
7.18
7.17
7.16
7.15
7.15
7.14
7.10
7.10
7.10
7.08
7.07
7.06
7.06
7.06
7.06
7.05
3.94
3.90
3.78
3.75
3.45
3.43
3.41
3.39
2.98
2.97
2.95
2.87
2.86
2.84
2.83
2.82
2.80
2.79
1.43
1.41
-0.00
O
NHPh
N
Me
85
1.00
2.05
2.04
3.08
2.03
1.03
1.03
1.02
2.04
2.09
3.08
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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128.95
128.80
126.63
126.45
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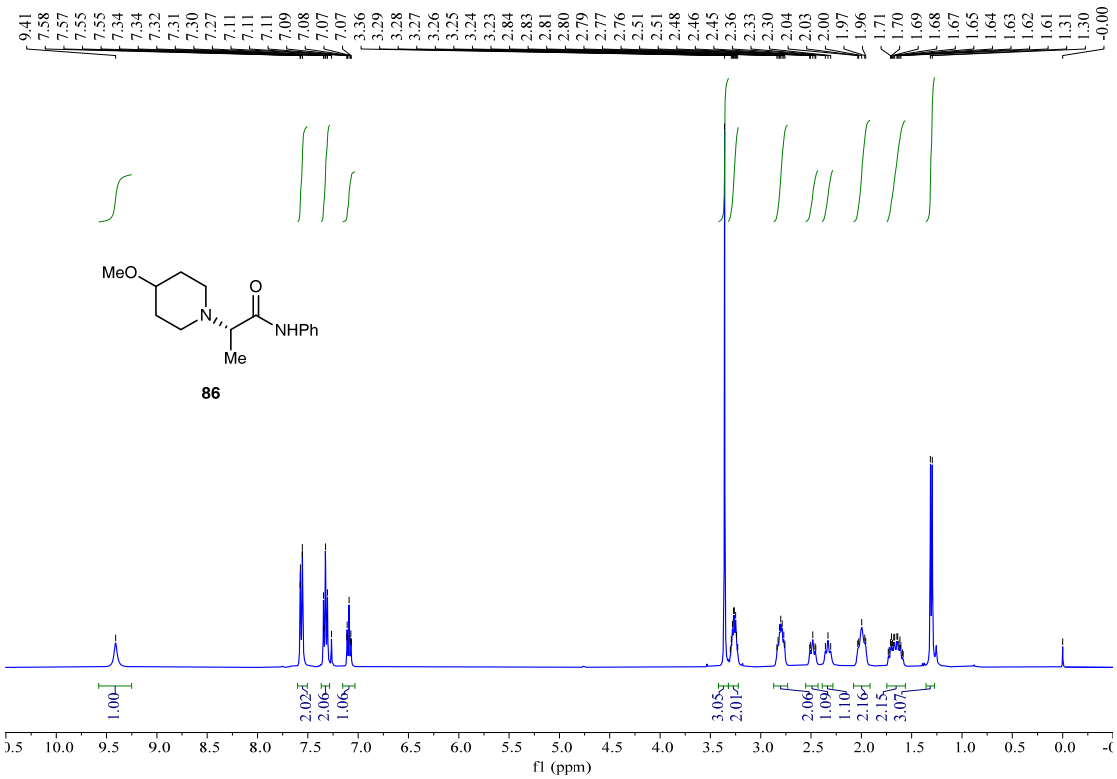
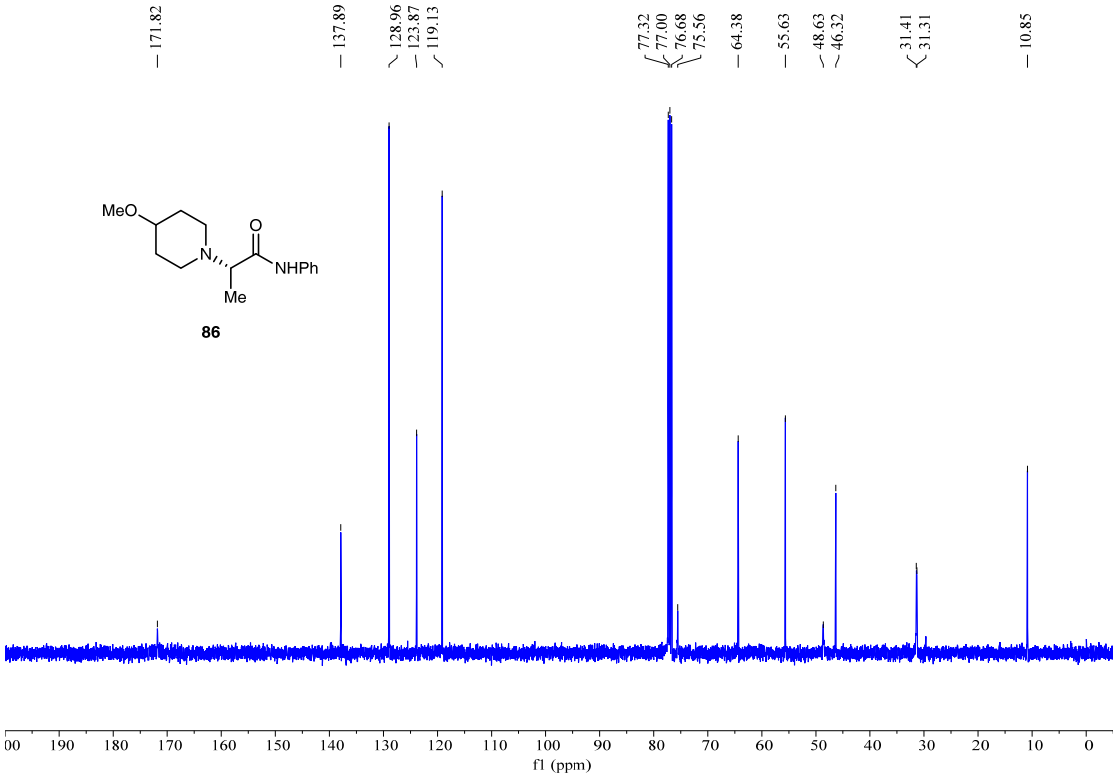
123.93
119.29
77.32
77.00
76.68
64.24
52.59
47.58
29.69
11.09
O
NHPh
N
Me
85
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


MeO
O
N
NHPh
Me
86
f1 (ppm)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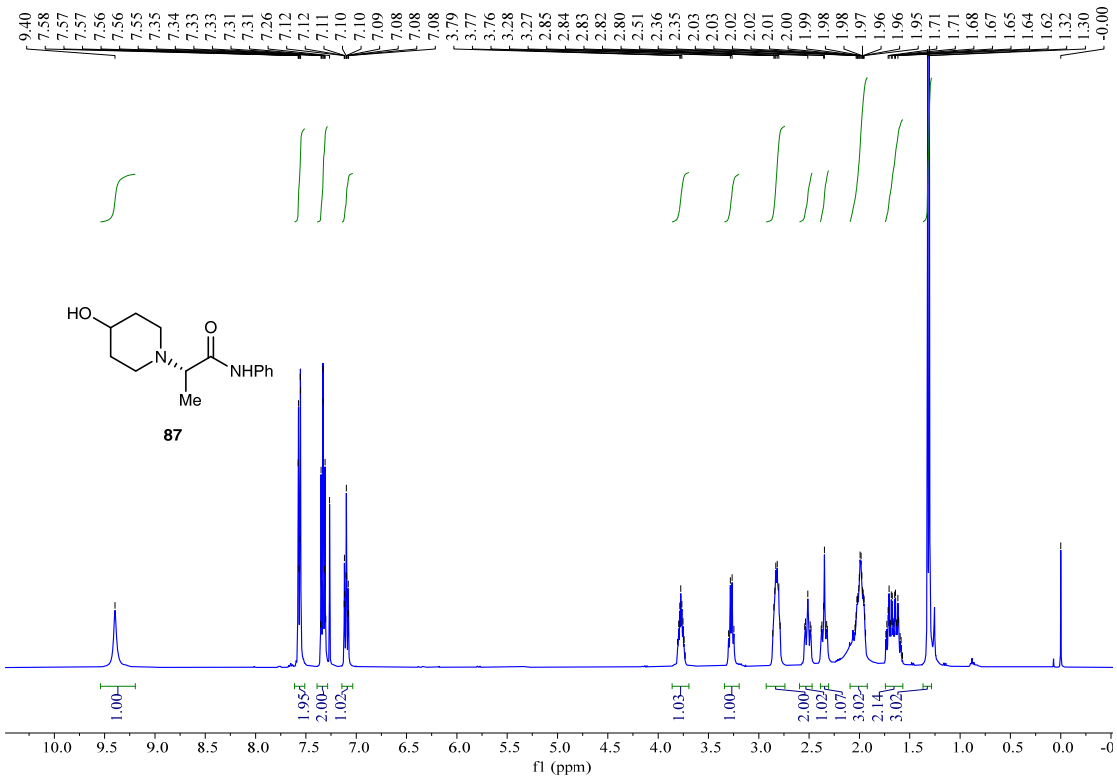
O
N
NHPh
Me
86
f1 (ppm)


HO
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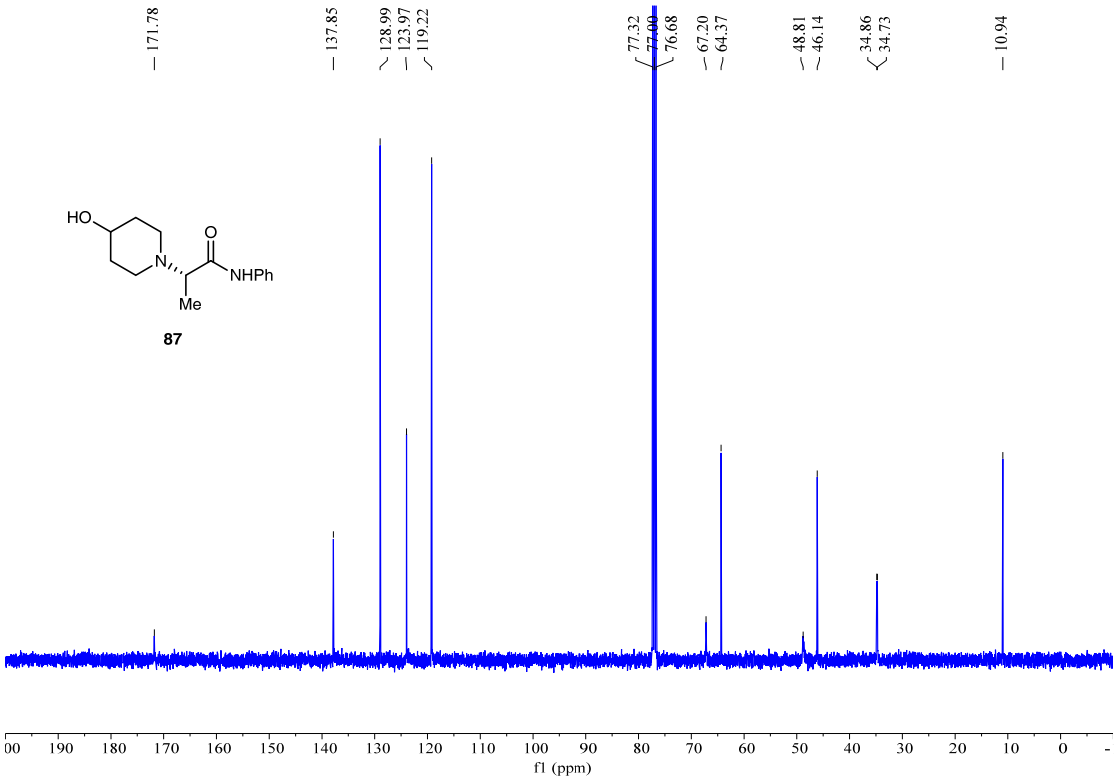
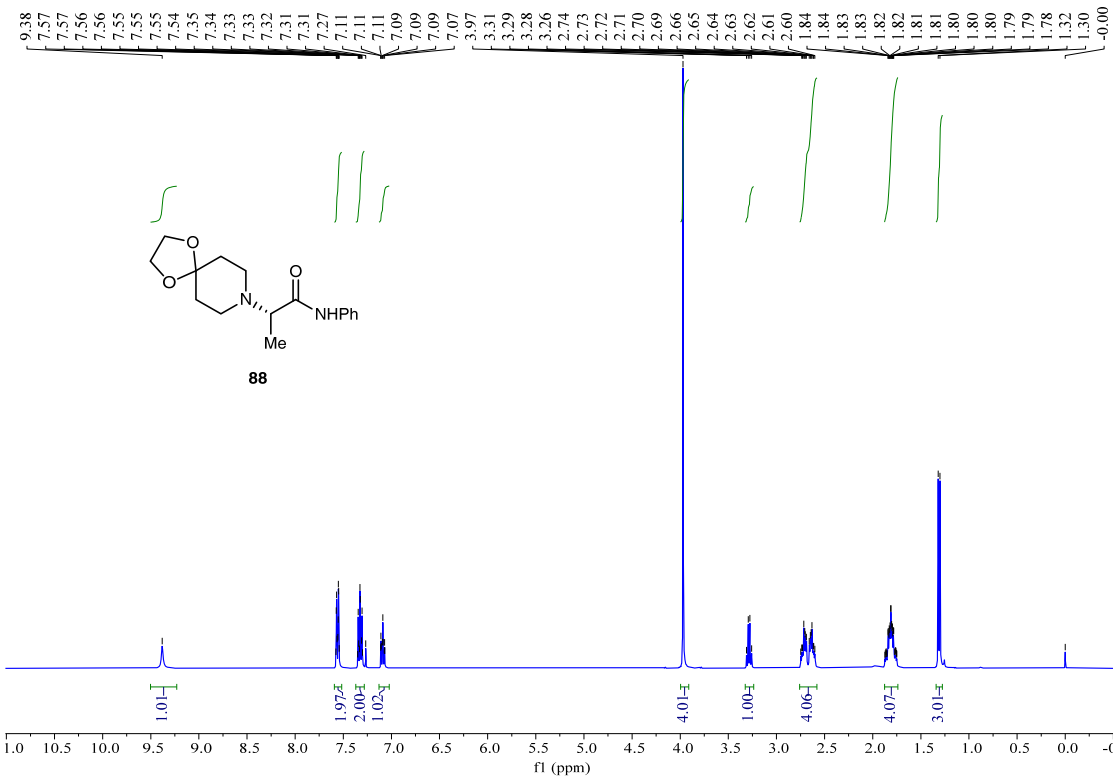
O
NHPh
Me
87
fl (ppm)


HO
N
O
NHPh
Me
87
fl (ppm)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O
O
N
O
NHPh
Me
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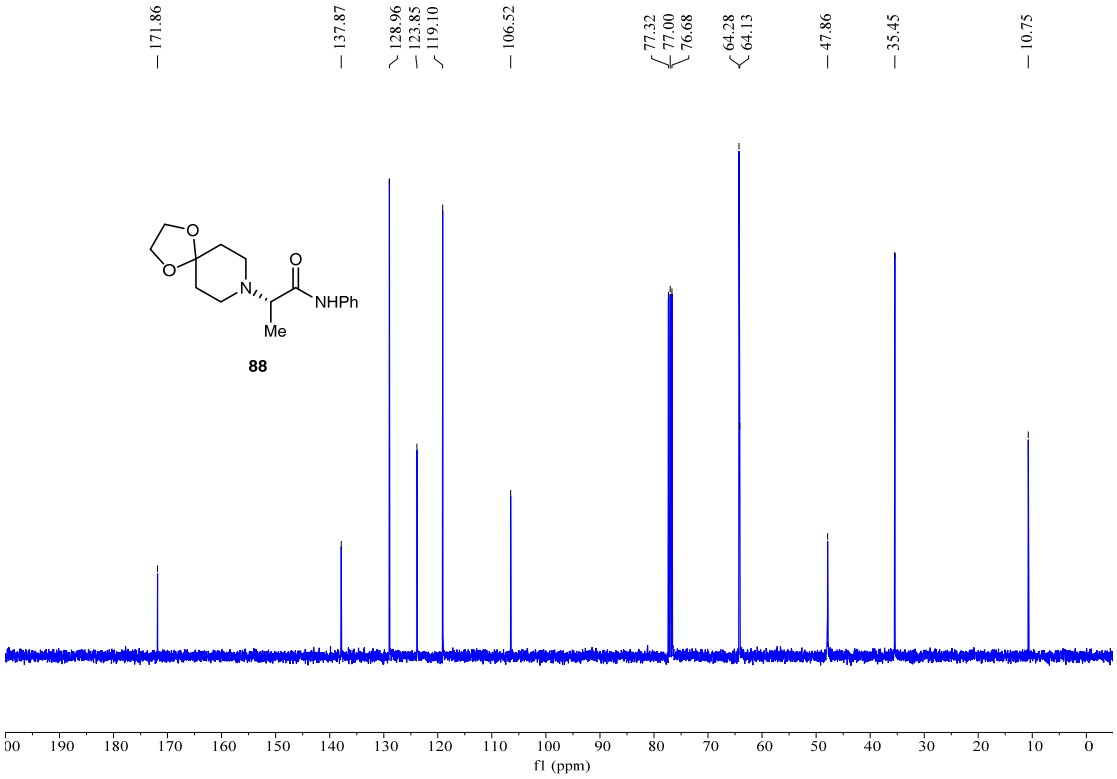
1.97
2.00
1.02
4.01
1.00
4.06
4.07
3.01
f1 (ppm)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64.13
47.86
35.45
10.75
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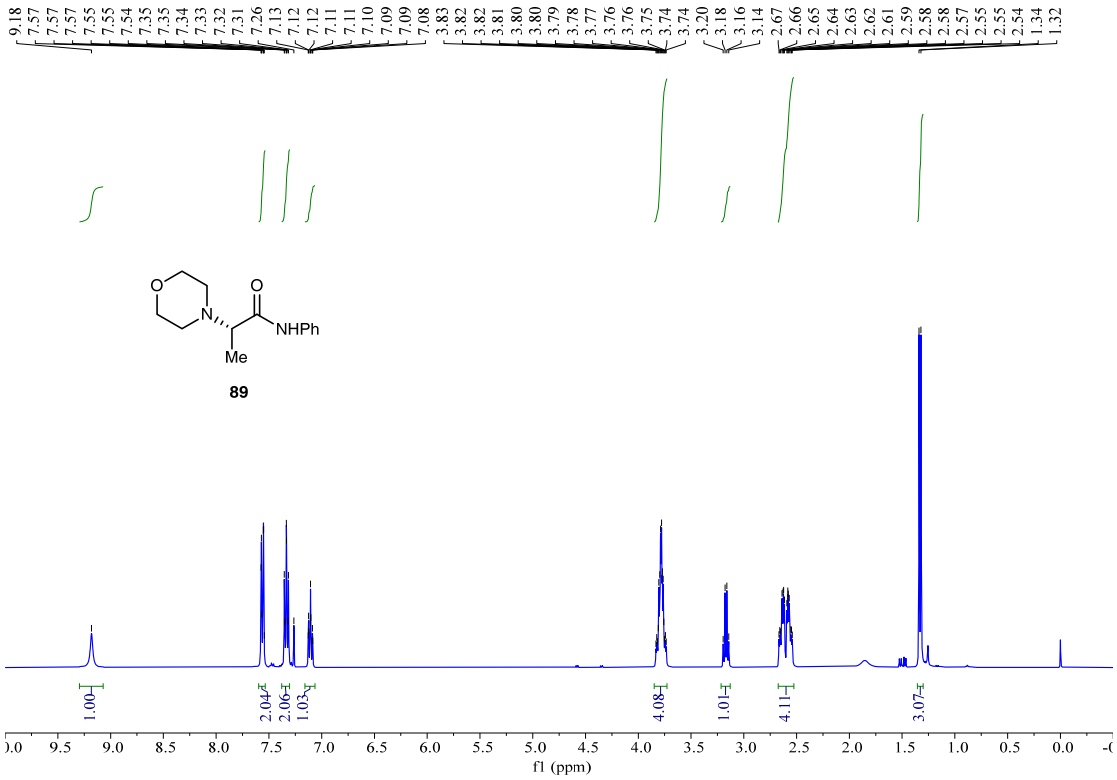
O
N
O
NHPh
Me
88
f1 (ppm)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7.32
7.31
7.26
7.13
7.12
7.12
7.11
7.11
7.10
7.09
7.09
7.08
3.83
3.82
3.82
3.81
3.80
3.80
3.79
3.78
3.77
3.76
3.76
3.75
3.74
3.74
3.20
3.18
3.16
3.14
2.67
2.66
2.65
2.64
2.63
2.62
2.61
2.59
2.58
2.58
2.57
2.55
2.54
1.34
1.32
O
O
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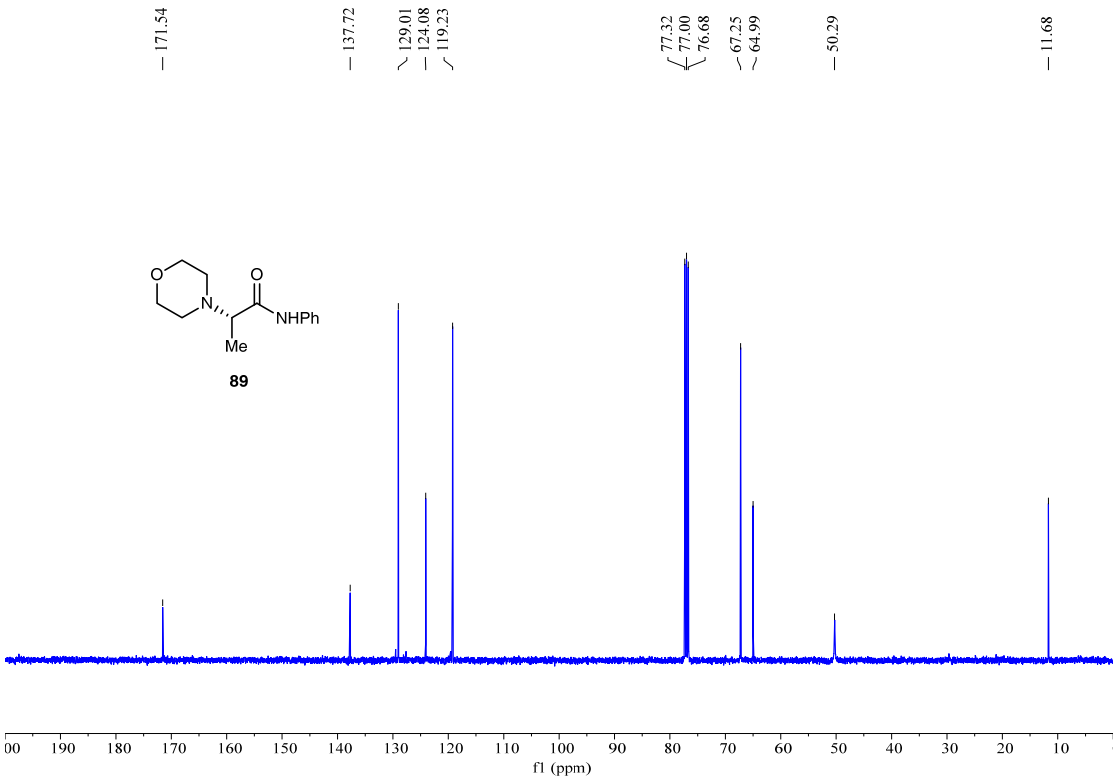
2.06
1.03
4.08
1.01
4.11
3.07
f1 (ppm)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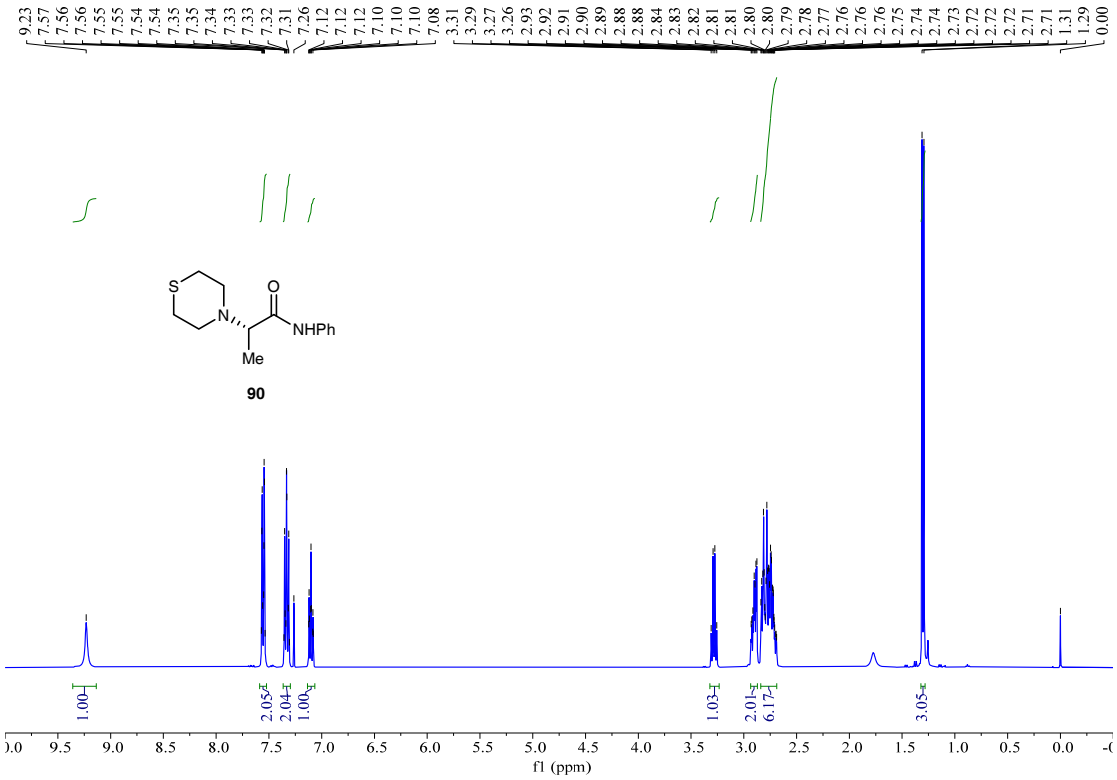
67.25
64.99
50.29
11.68
O
O
N
NHPh
Me
89
f1 (ppm)


9.23 7.57 7.56 7.56 7.55 7.55 7.54 7.54 7.35 7.35 7.34 7.33 7.33 7.32 7.31 7.26 7.12 7.12 7.12 7.10 7.10 7.10 7.08 3.31 3.29 3.27 3.26 2.93 2.92 2.91 2.90 2.89 2.88 2.88 2.84 2.83 2.82 2.81 2.81 2.80 2.80 2.79 2.78 2.77 2.76 2.76 2.76 2.75 2.74 2.74 2.73 2.72 2.72 2.72 2.71 2.71 1.31 1.29 0.00
S
N
O
NHPh
Me
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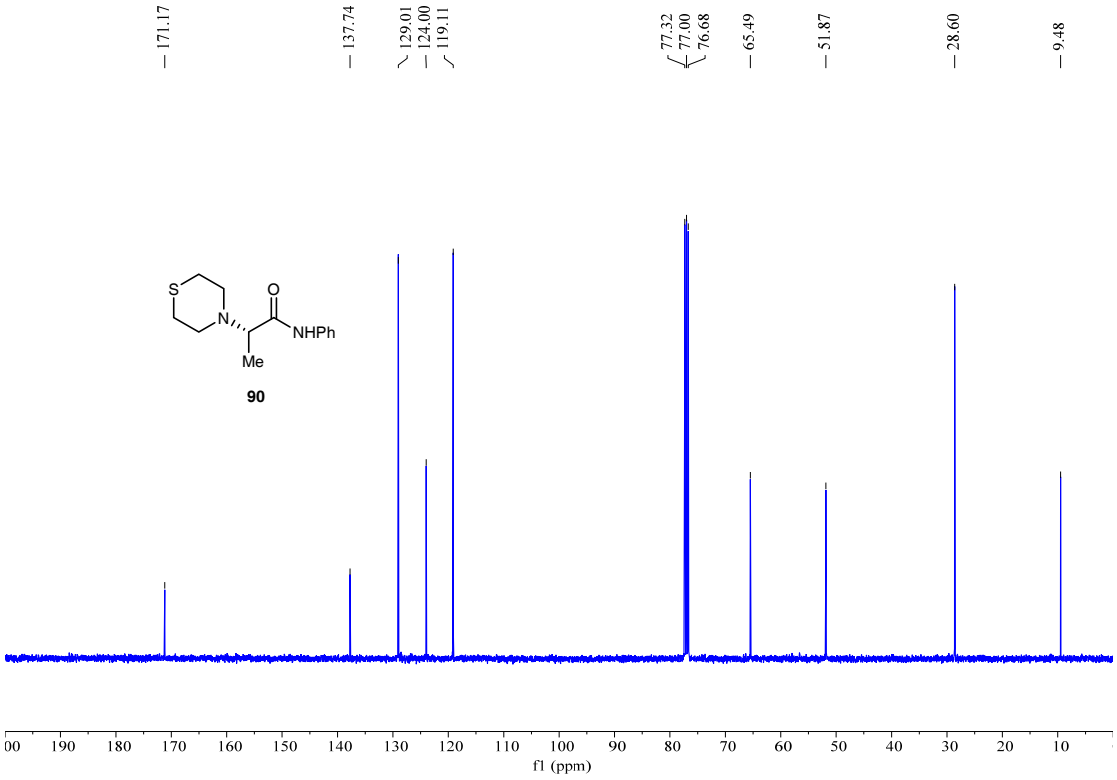
1.00
2.05
2.04
1.00
1.03
2.01
6.17
3.05
f1 (ppm)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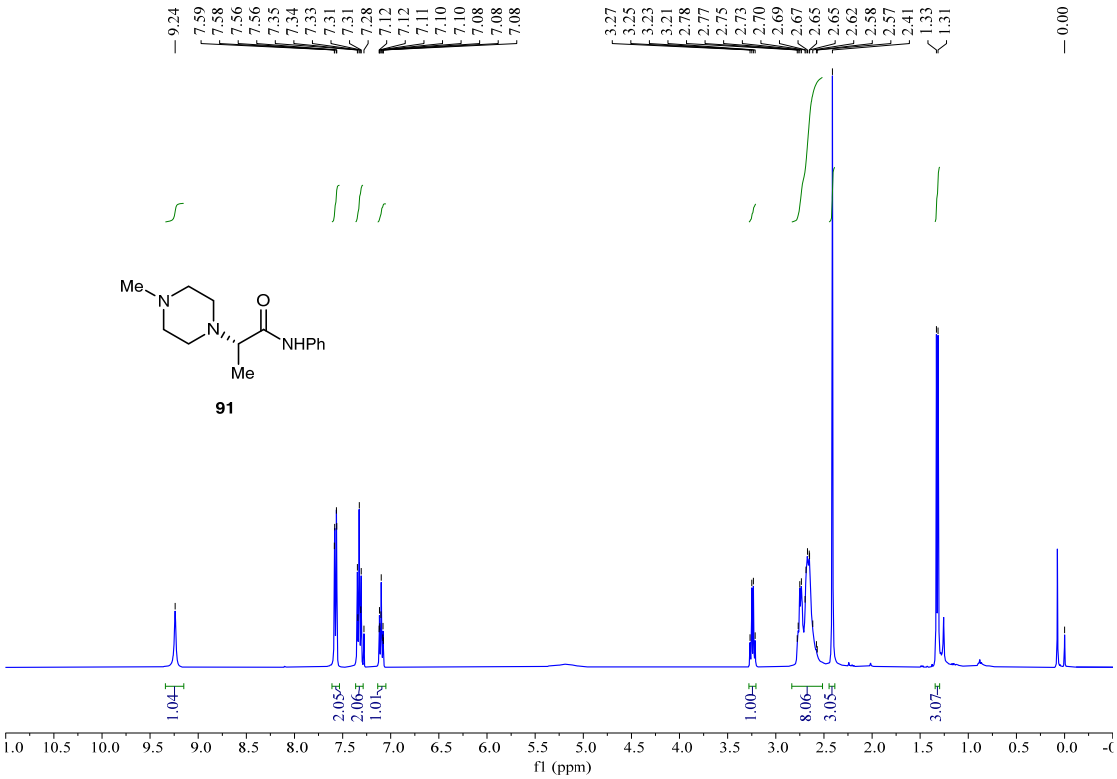
65.49
51.87
28.60
9.48
S
N
O
NHPh
Me
90
f1 (ppm)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7.59
7.58
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7.35
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7.33
7.31
7.31
7.28
7.12
7.12
7.11
7.10
7.10
7.08
7.08
7.08
3.27
3.25
3.23
3.21
2.78
2.77
2.75
2.73
2.70
2.69
2.67
2.65
2.65
2.62
2.58
2.57
2.41
1.33
1.31
0.00
Me
N
N
O
NHPh
Me
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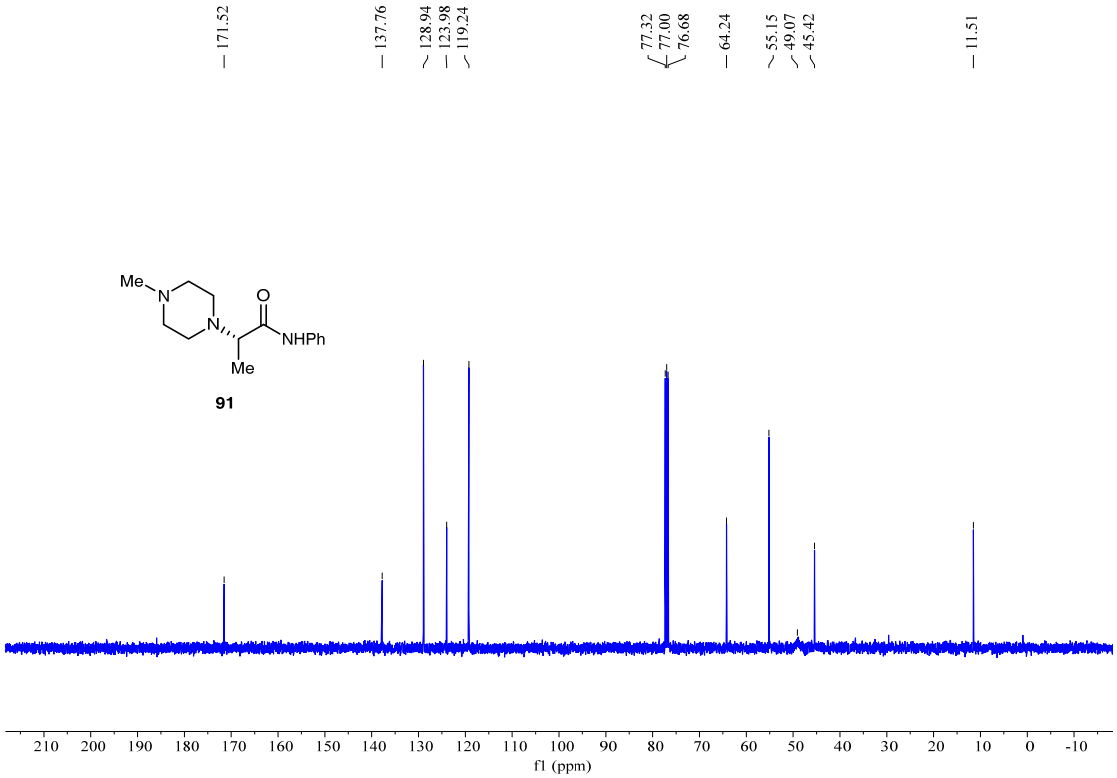
1.04
2.05
2.06
1.01
1.00
8.06
3.05
3.07
f1 (ppm)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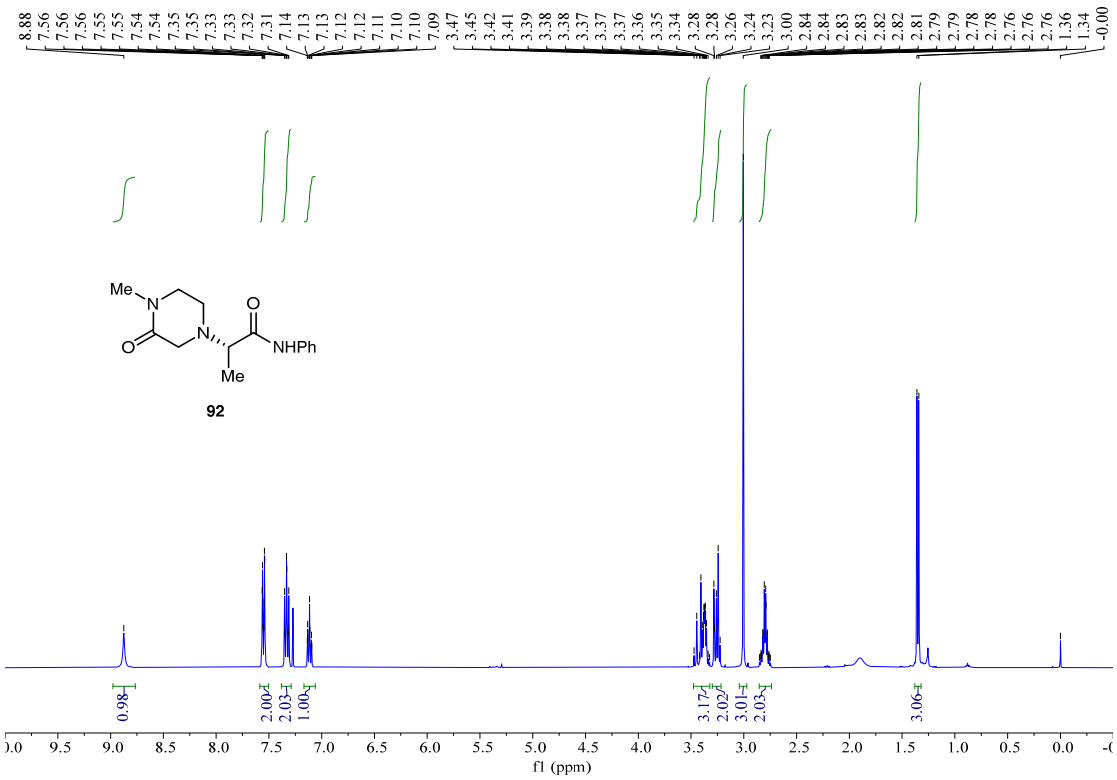
77.32
77.00
76.68
64.24
55.15
49.07
45.42
11.51
Me
N
N
O
NHPh
Me
91
f1 (ppm)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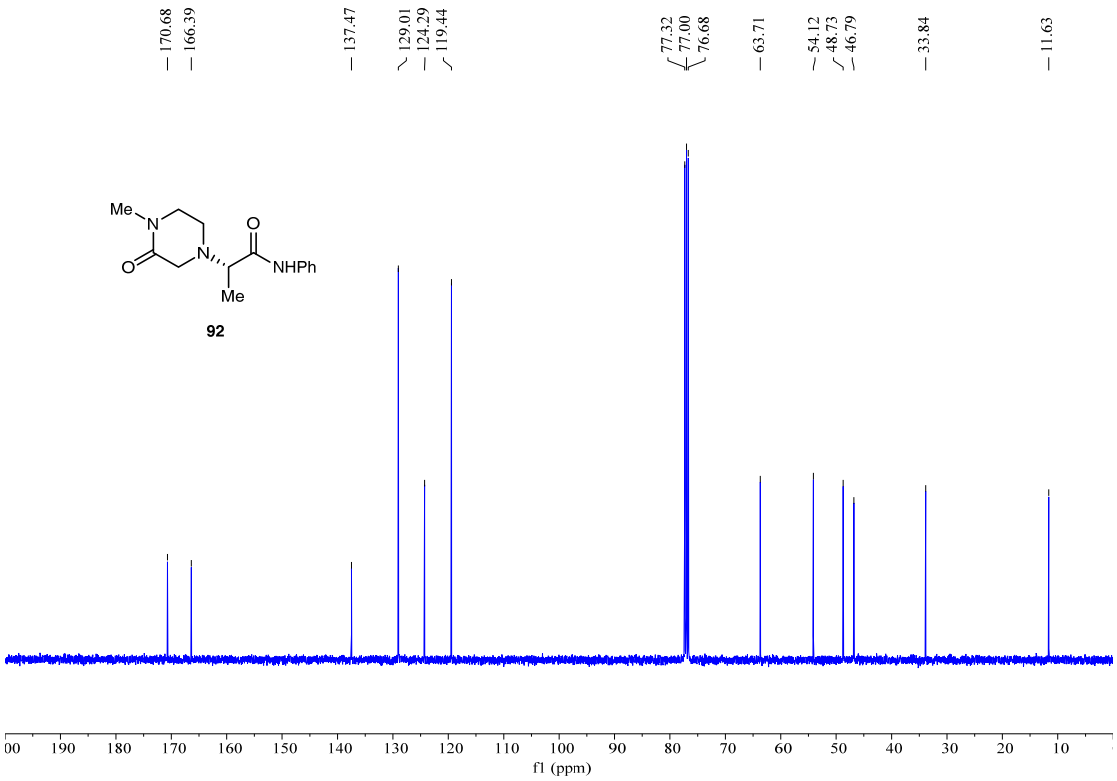
N
O
N
O
NHPh
Me
92
f1 (ppm)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O
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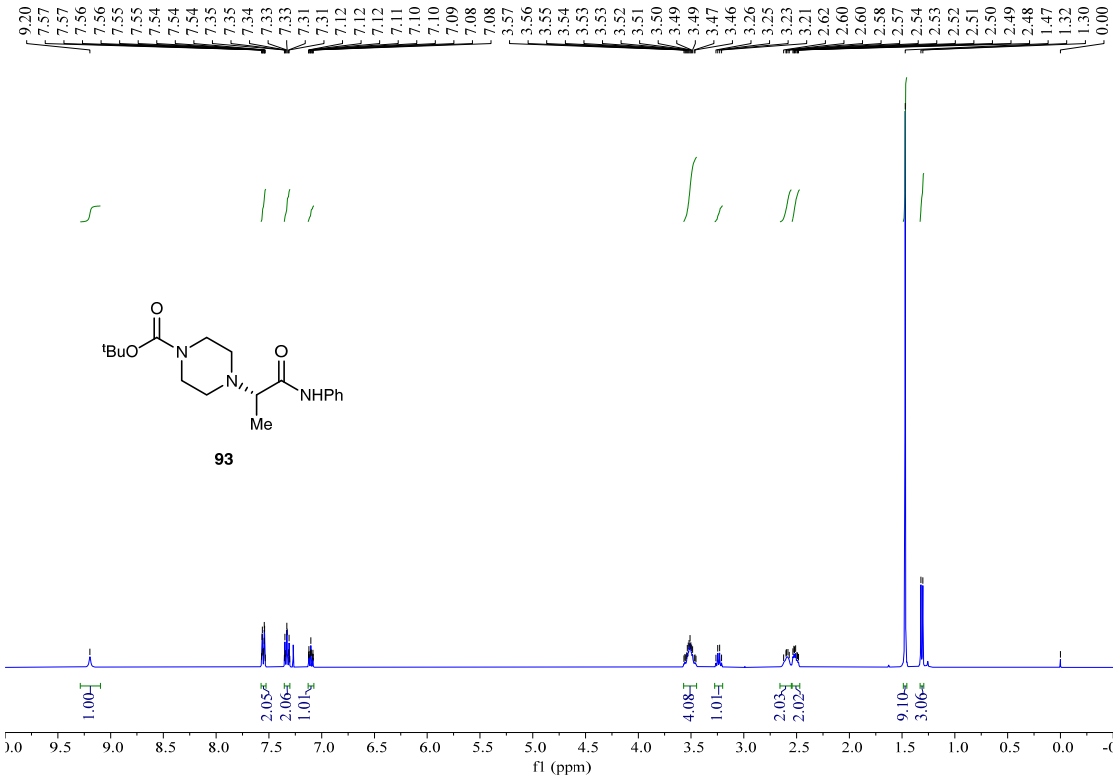
92
f1 (ppm)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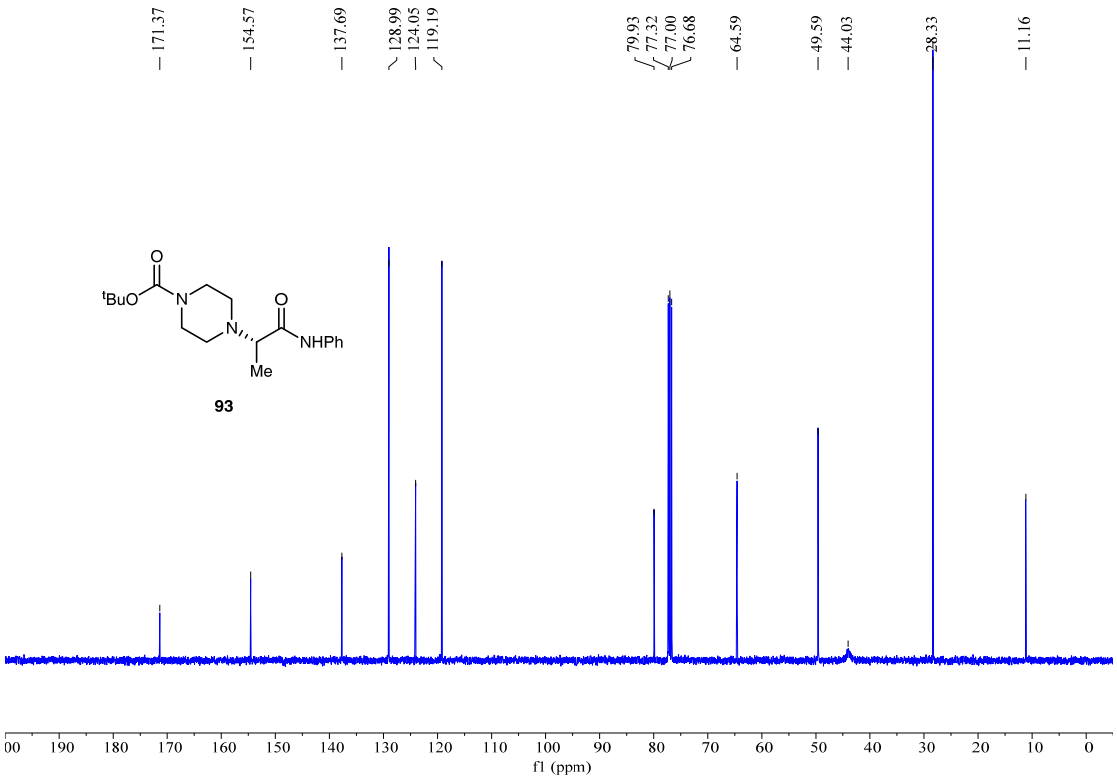
3.57 3.56 3.55 3.54 3.53 3.53 3.52 3.51 3.50 3.49 3.49 3.47 3.46 3.26 3.25 3.23 3.21 2.62 2.60 2.60 2.58 2.57 2.54 2.53 2.52 2.51 2.50 2.49 2.48 1.47 1.32 1.30 0.00
tBuO
O
N
N
O
NHPh
Me
93
1.00 2.05 2.06 1.01 4.08 1.01 2.03 2.02 9.10 3.06
f1 (ppm)


171.37 154.57 137.69 128.99 124.05 119.19
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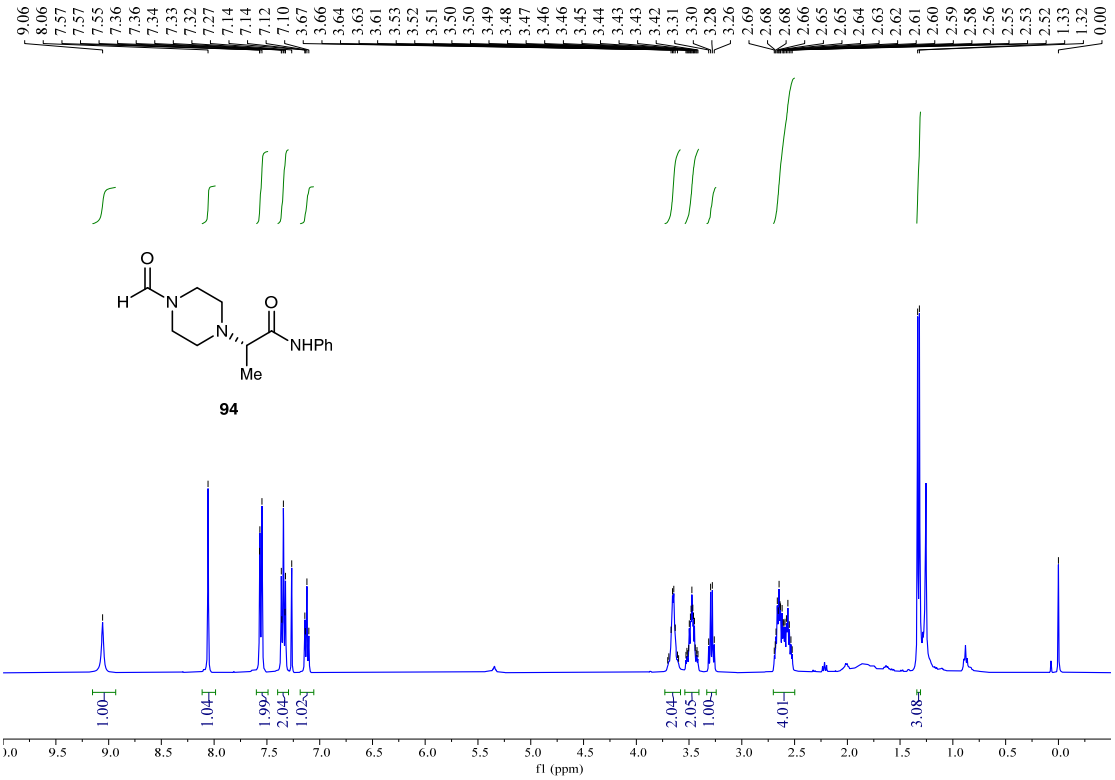
N
O
NHPh
Me
93
f1 (ppm)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O
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Me
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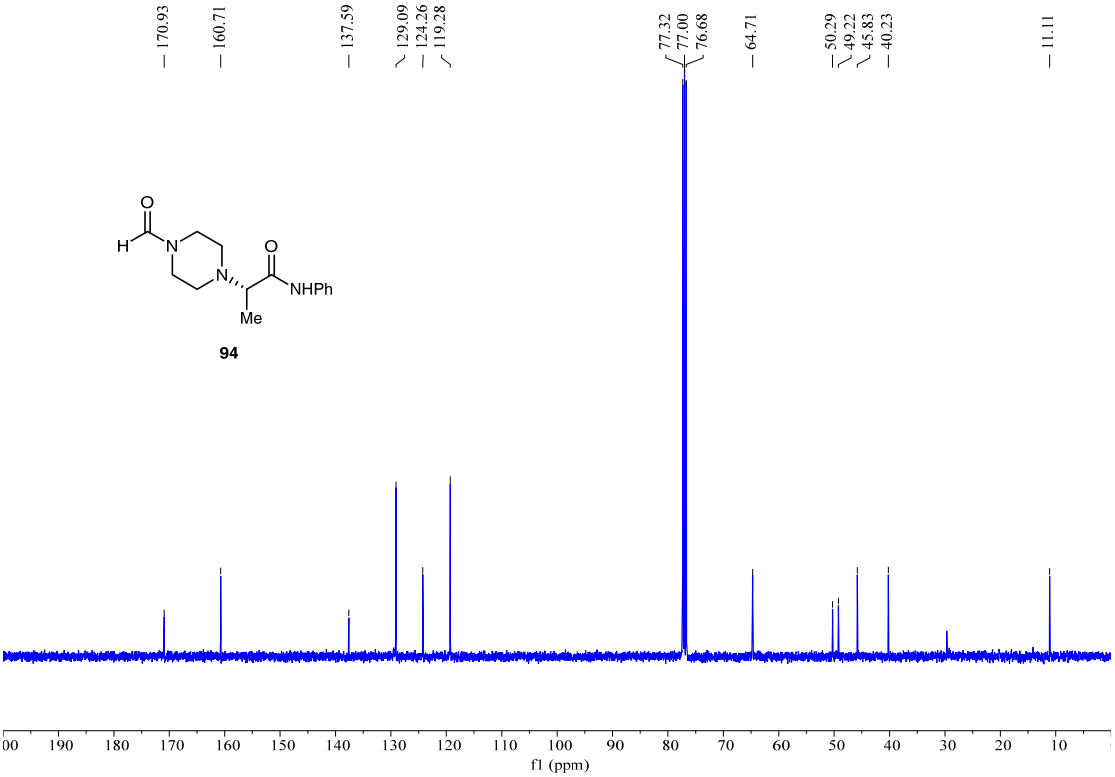
f1 (ppm)


170.93 160.71 137.59 129.09 124.26 119.28 77.32 77.00 76.68 64.71 50.29 49.22 45.83 40.23 11.11
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N
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NHPh
Me
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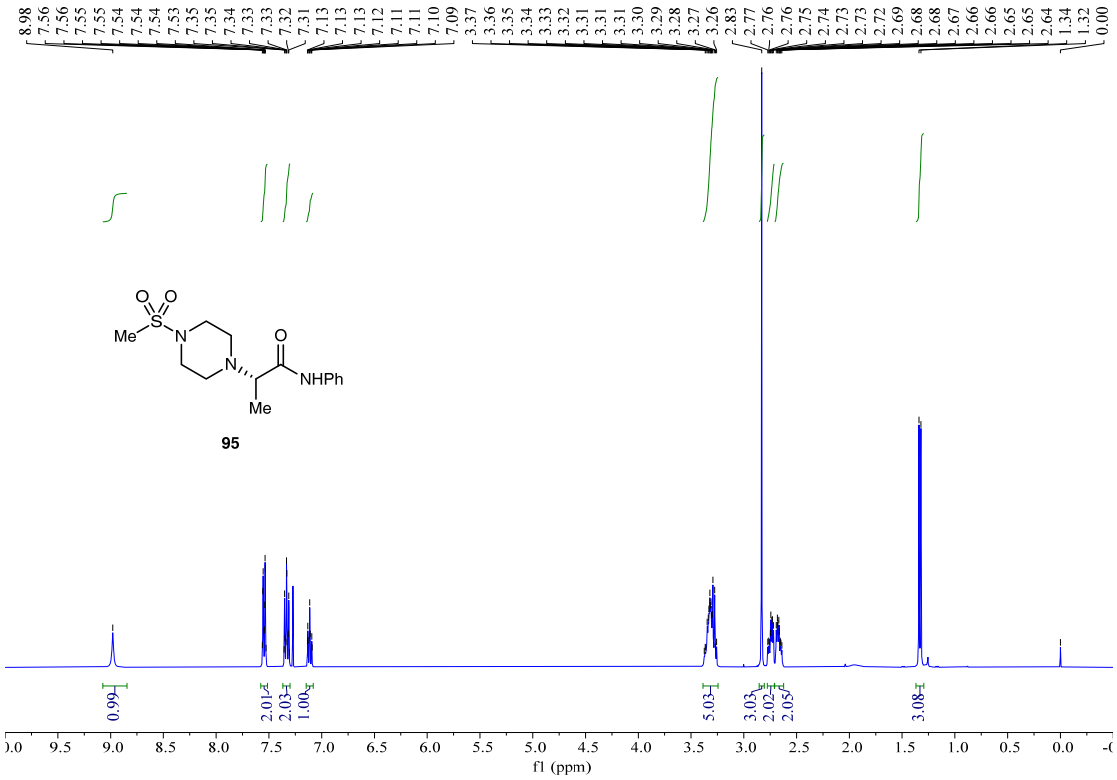
f1 (ppm)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Me
N
O
O
S
N
O
NHPh
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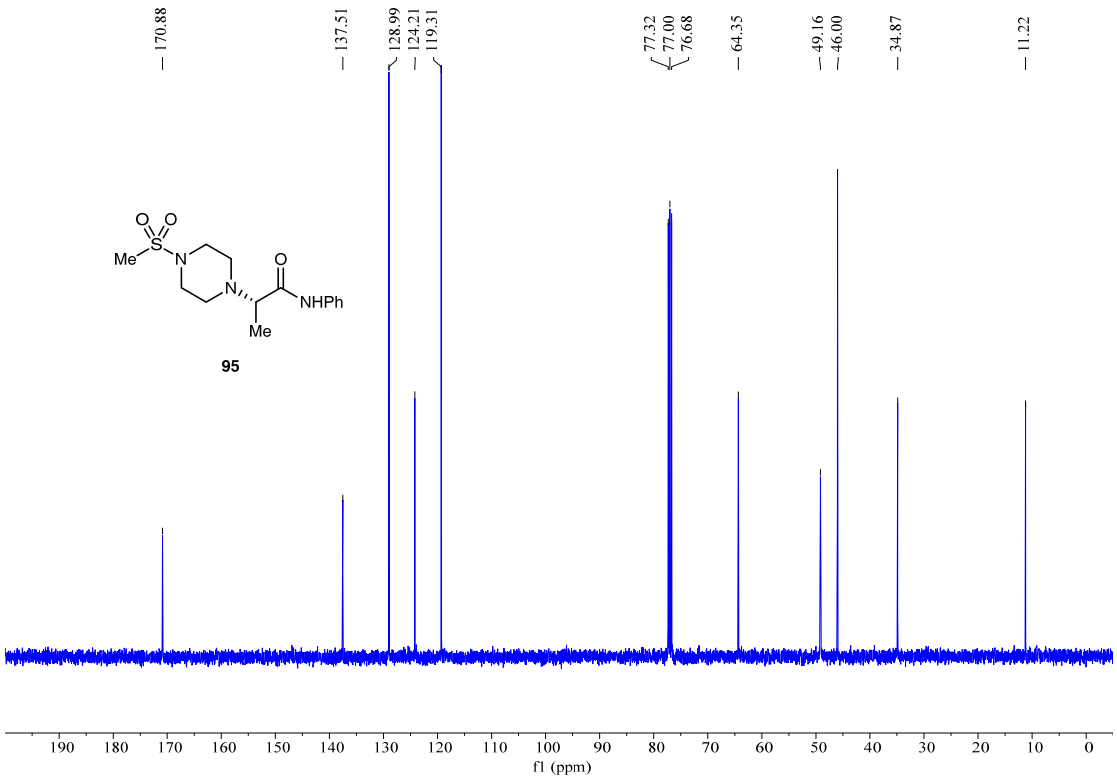
2.03
1.00
5.03
3.03
2.02
2.05
3.08
f1 (ppm)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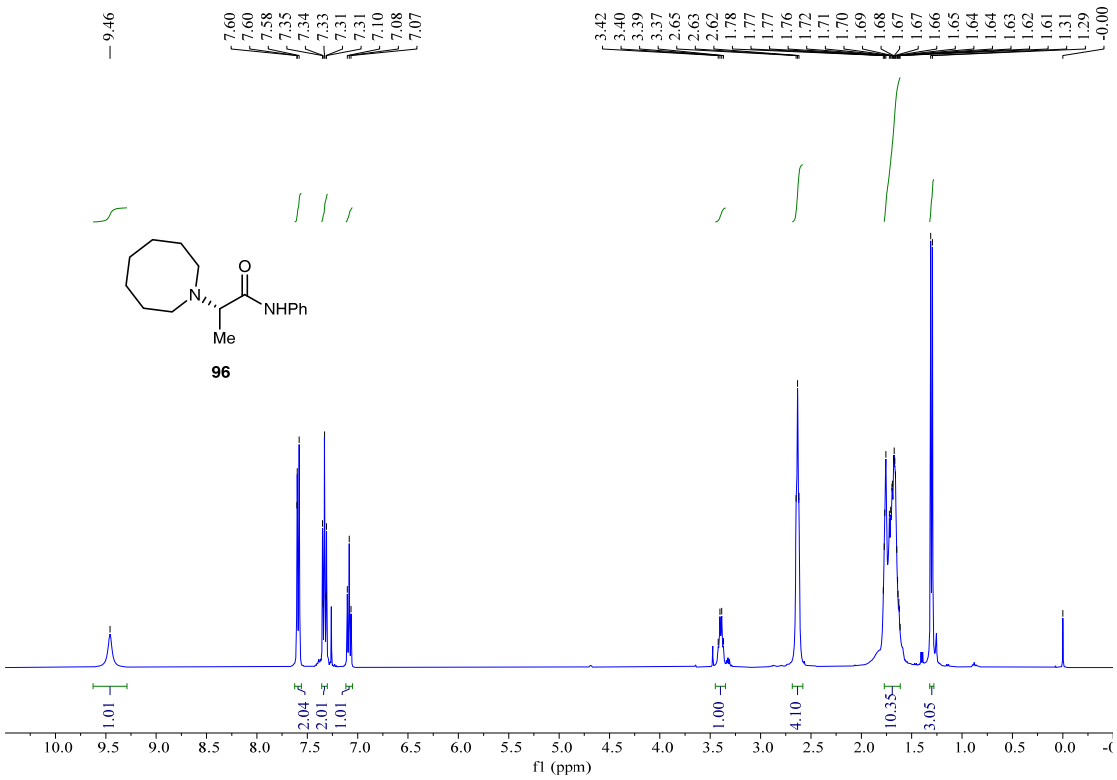
77.00
76.68
64.35
49.16
46.00
34.87
11.22
Me
N
O
O
S
N
O
NHPh
Me
95
f1 (ppm)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7.34
7.33
7.31
7.31
7.10
7.08
7.07
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3.39
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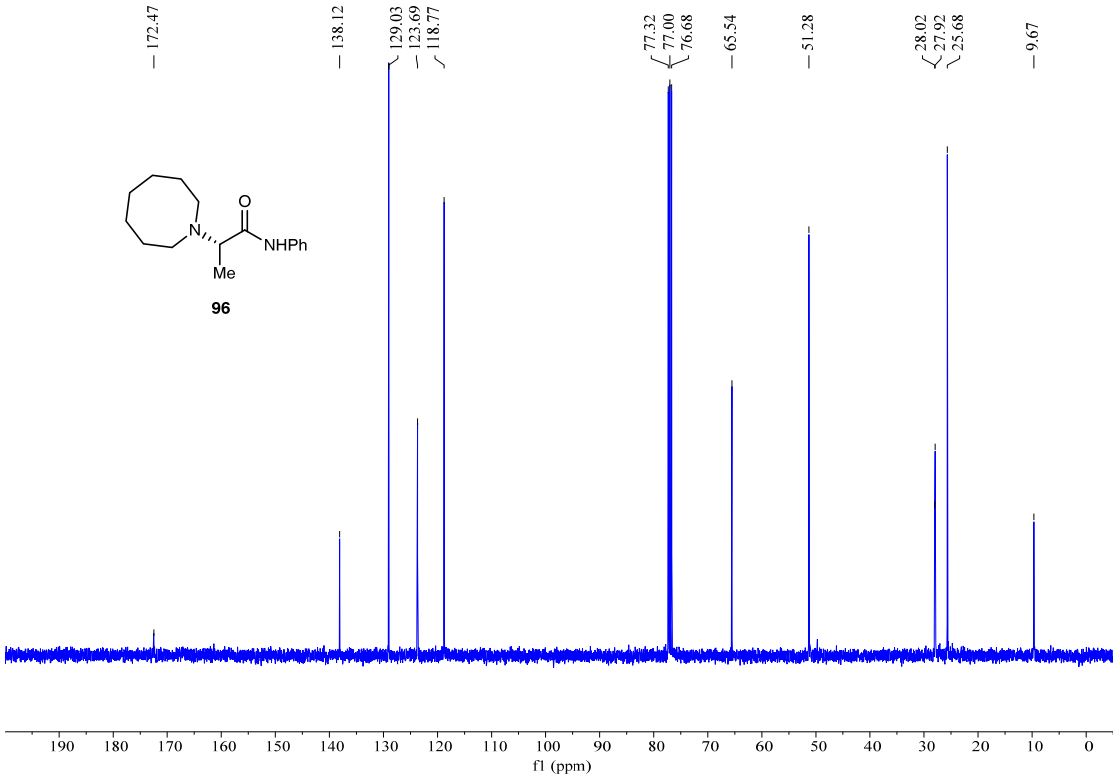
1.68
1.67
1.67
1.66
1.65
1.64
1.64
1.63
1.62
1.61
1.31
1.29
0.00
O
N
NHPh
Me
96
1.01
2.04
2.01
1.01
1.00
4.10
10.35
3.05
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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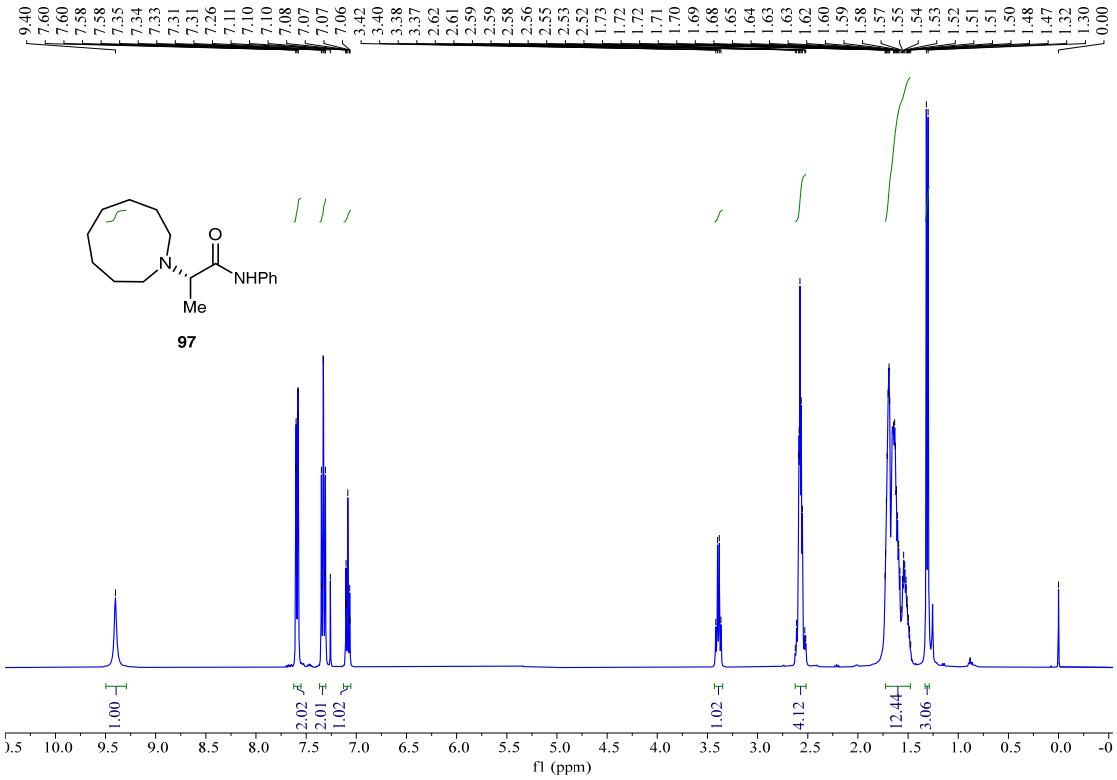
123.69
118.77
77.32
77.00
76.68
65.54
51.28
28.02
27.92
25.68
9.67
O
N
NHPh
Me
96
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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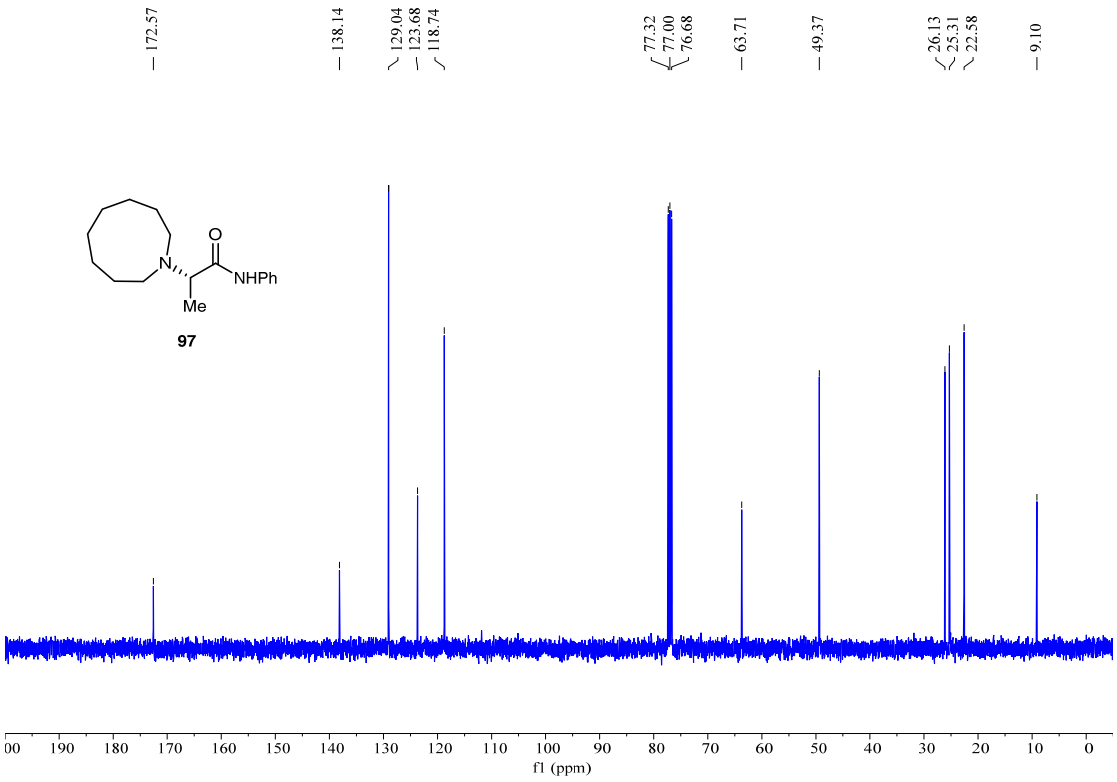
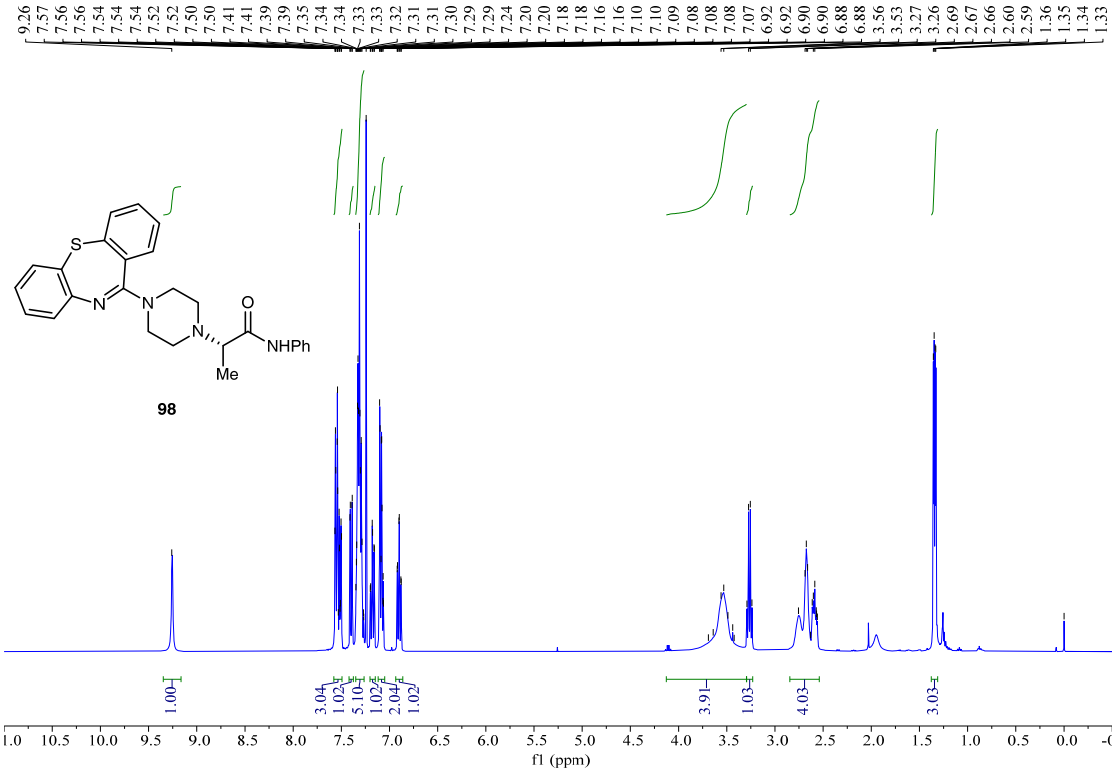
O
NHPh
N
Me
97
1.00 2.02 2.01 1.02 1.02 4.12 12.44 3.06
f1 (ppm)


172.57 138.14 129.04 123.68 118.74 77.32 77.00 76.68 63.71 49.37 26.13 25.31 22.58 9.10
O
NHPh
N
Me
97
f1 (ppm)


9.26 7.57 7.56 7.56 7.54 7.54 7.54 7.52 7.52 7.50 7.50 7.41 7.41 7.39 7.39 7.35 7.34 7.34 7.33 7.33 7.32 7.31 7.31 7.30 7.29 7.29 7.24 7.20 7.20 7.18 7.18 7.16 7.16 7.10 7.10 7.09 7.08 7.08 7.08 7.07 6.92 6.90 6.90 6.88 6.88 3.56 3.53 3.27 3.26 2.69 2.67 2.66 2.60 2.59 1.36 1.35 1.34 1.33
S
N
N
N
O
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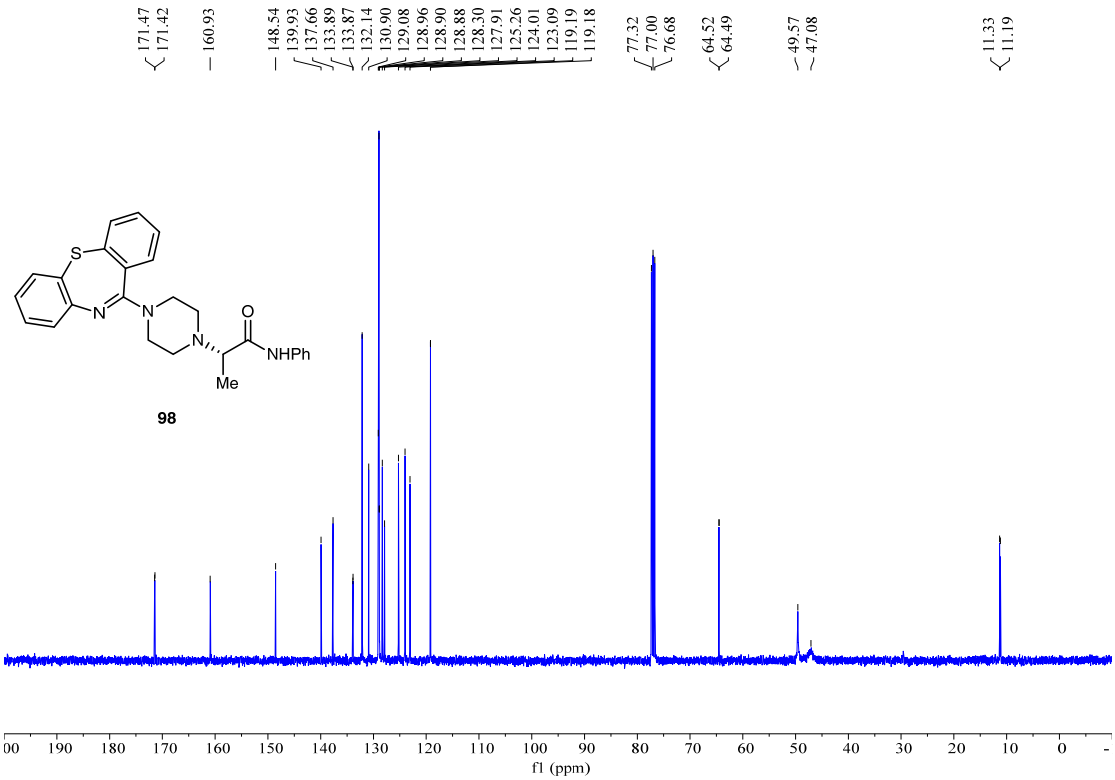
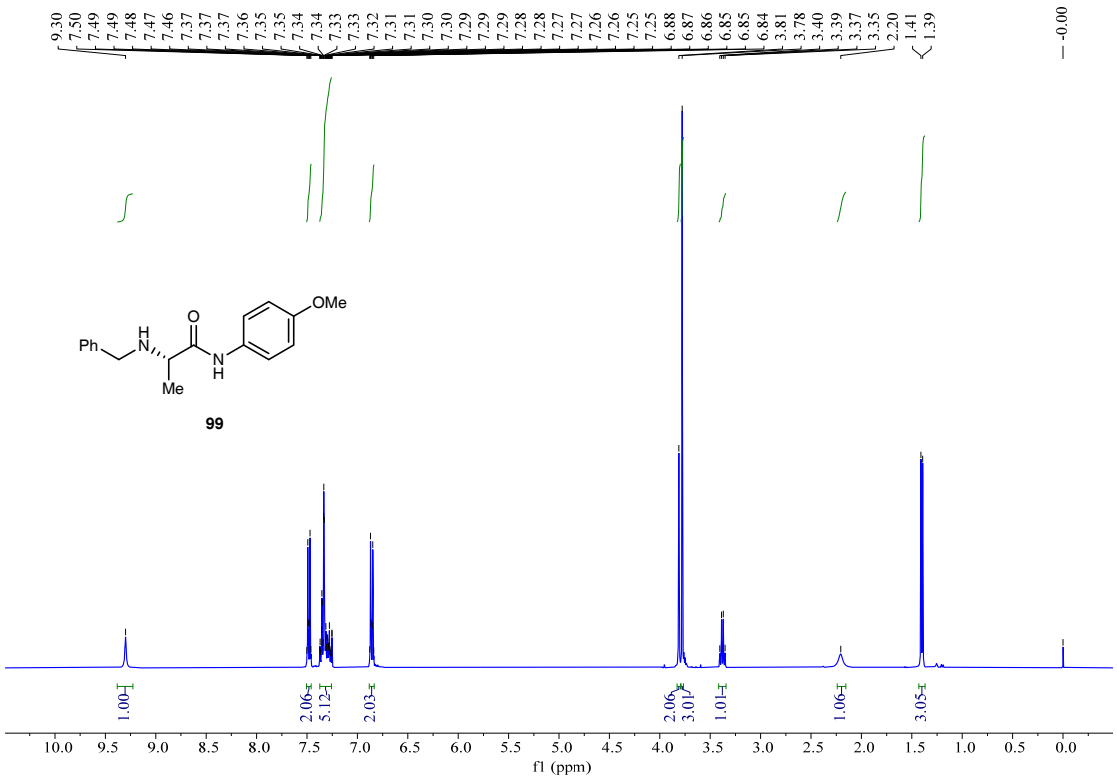
Me
98
1.00 3.04 1.02 5.10 1.02 2.04 1.02 3.91 1.03 4.03 3.03
f1 (ppm)


171.47 171.42 160.93 148.54 139.93 137.66 133.89 133.87 132.14 130.90 129.08 128.96 128.90 128.88 128.30 127.91 125.26 124.01 123.09 119.19 119.18 77.32 77.00 76.68 64.52 64.49 49.57 47.08 11.33 11.19
S
N
N
N
O
NHPh
Me
98
f1 (ppm)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7.28
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7.27
7.26
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7.25
7.25
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6.86
6.85
6.85
6.84
3.81
3.78
3.40
3.39
3.37
3.35
2.20
1.41
1.39
-0.00
OMe
Ph
N
H
O
N
H
Me
99
1.00
2.06
5.12
2.03
2.06
3.01
1.01
1.06
3.05
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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2.5
2.0
1.5
1.0
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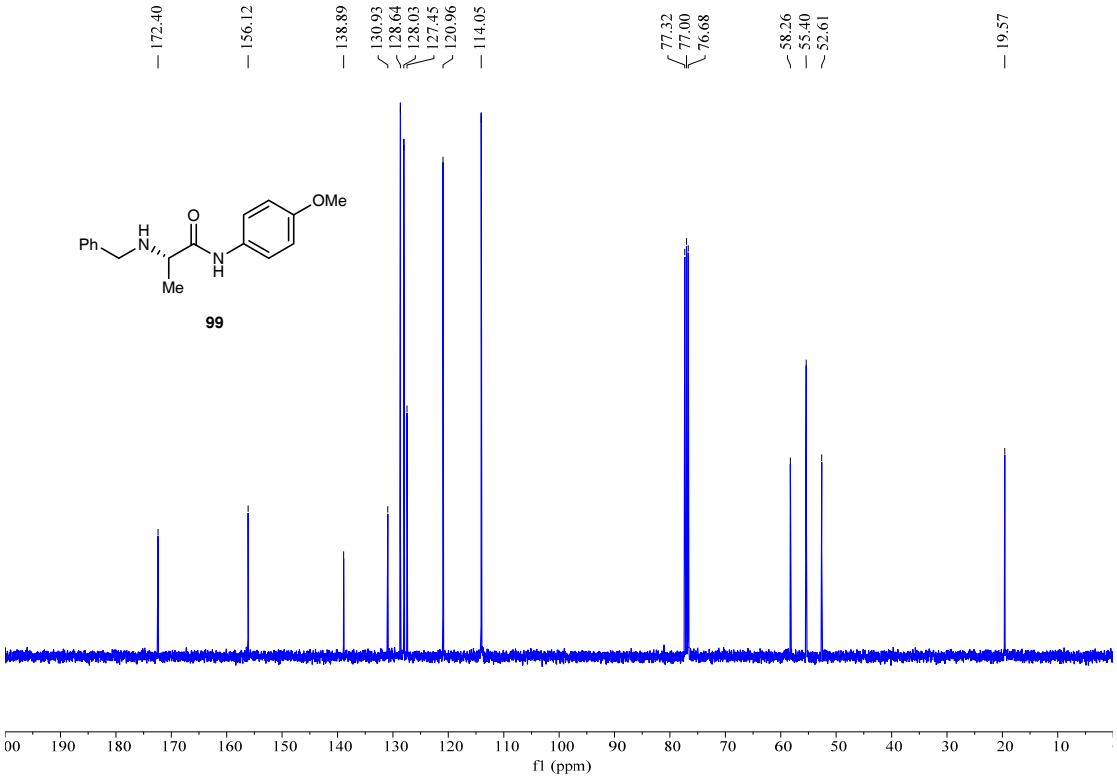
0.0
f1 (ppm)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128.03
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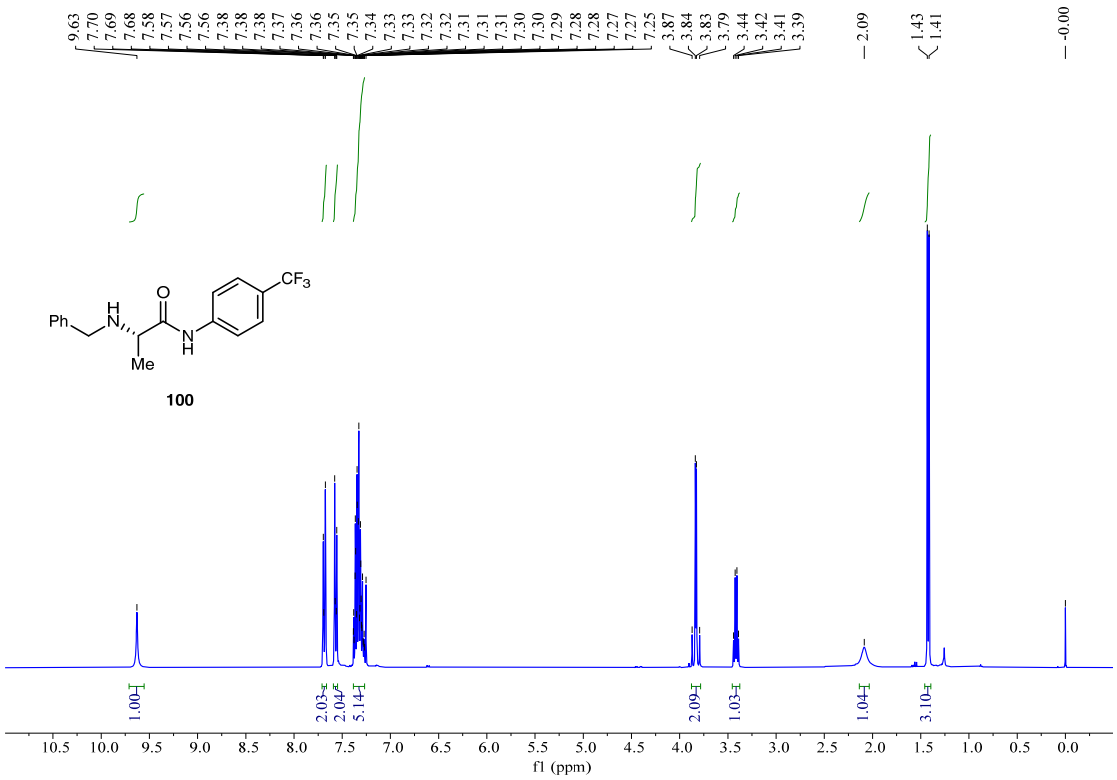
77.32
77.00
76.68
58.26
55.40
52.61
19.57
OMe
Ph
N
H
O
N
H
Me
99
00
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)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7.34
7.33
7.33
7.32
7.32
7.31
7.31
7.31
7.30
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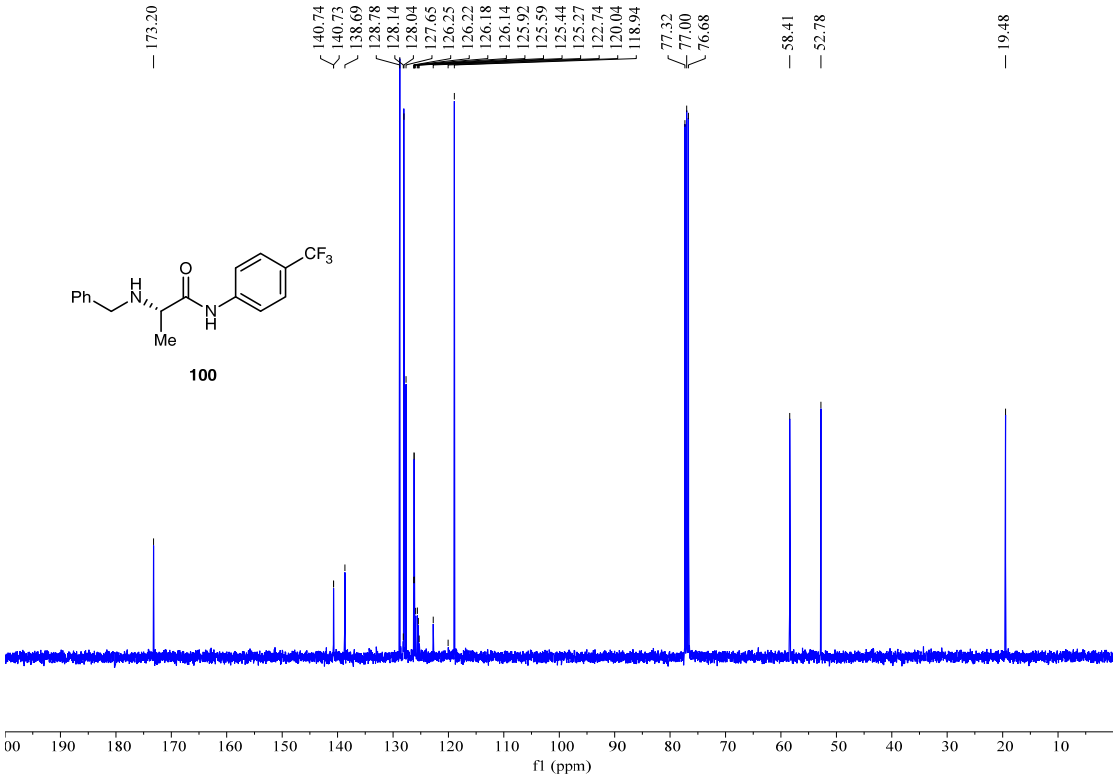
7.29
7.28
7.28
7.27
7.27
7.25
3.87
3.84
3.83
3.79
3.44
3.42
3.41
3.39
2.09
1.43
1.41
-0.00
CF3
Ph
N
H
O
N
H
Me
100
1.00
2.03
2.04
5.14
2.09
1.03
1.04
3.10
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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126.18
126.14
125.92
125.59
125.44
125.27
122.74
120.04
118.94
77.32
77.00
76.68
58.41
52.78
19.48
CF3
Ph
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H
O
N
H
Me
100
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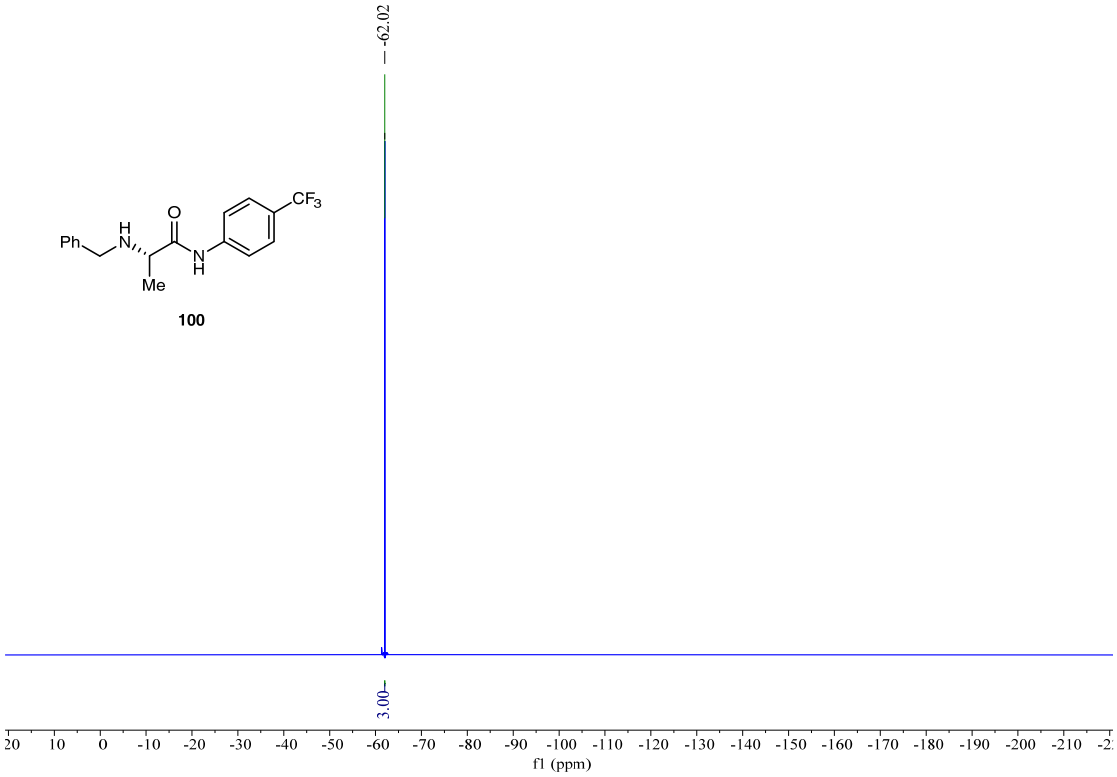
f1 (ppm)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O
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H
CF3
Me
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3.00
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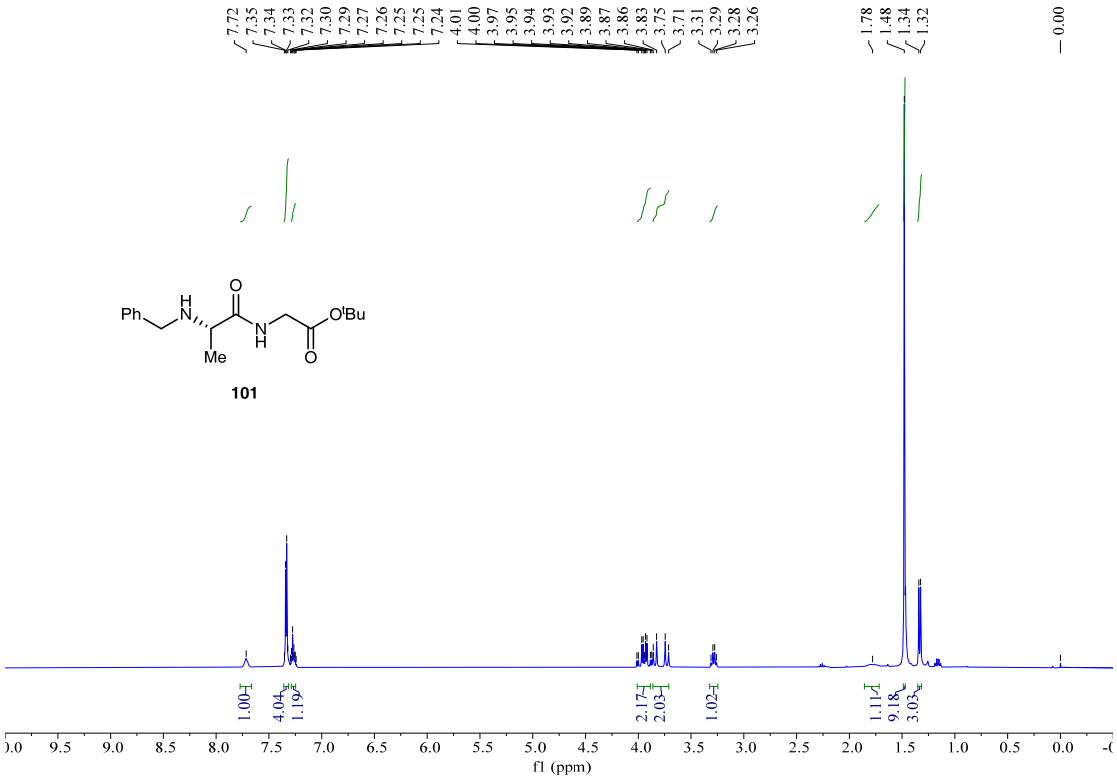
f1 (ppm)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4.01
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3.93
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3.29
3.28
3.26
1.78
1.48
1.34
1.32
0.00
Ph
N
H
O
N
H
O
OtBu
O
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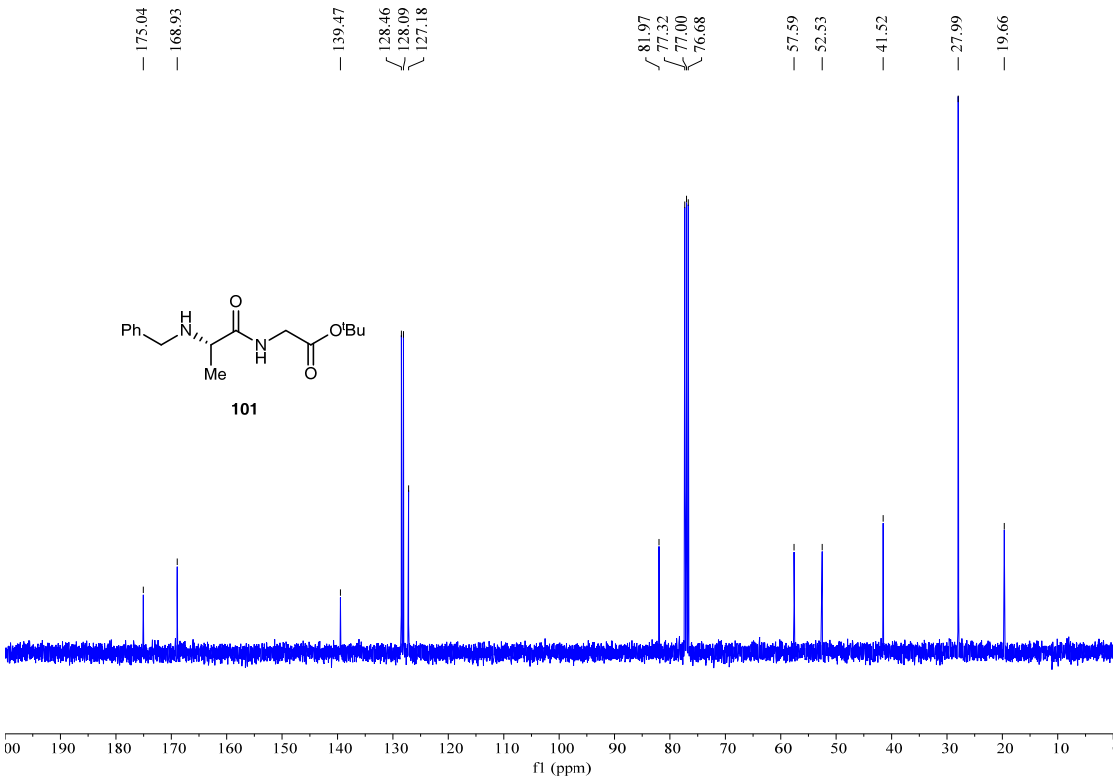
4.04
1.19
2.17
2.03
1.02
1.11
9.18
3.03
f1 (ppm)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128.09
127.18
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77.32
77.00
76.68
57.59
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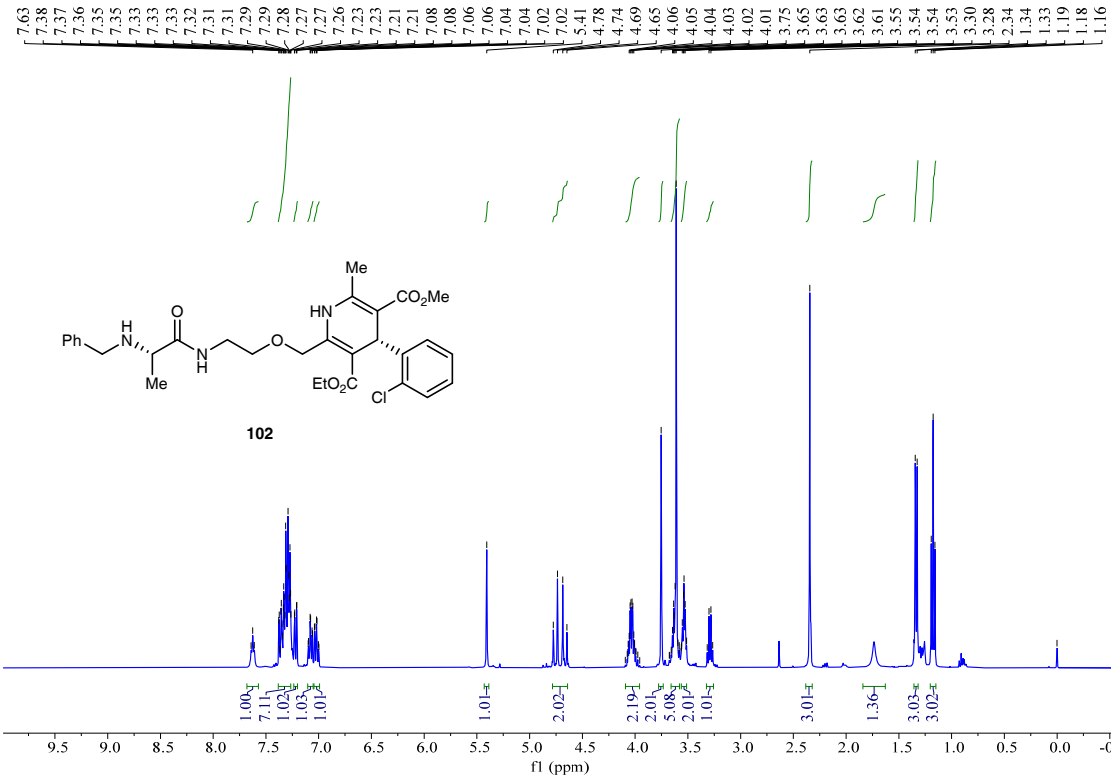
41.52
27.99
19.66
Ph
N
H
O
N
H
O
OtBu
O
Me
101
f1 (ppm)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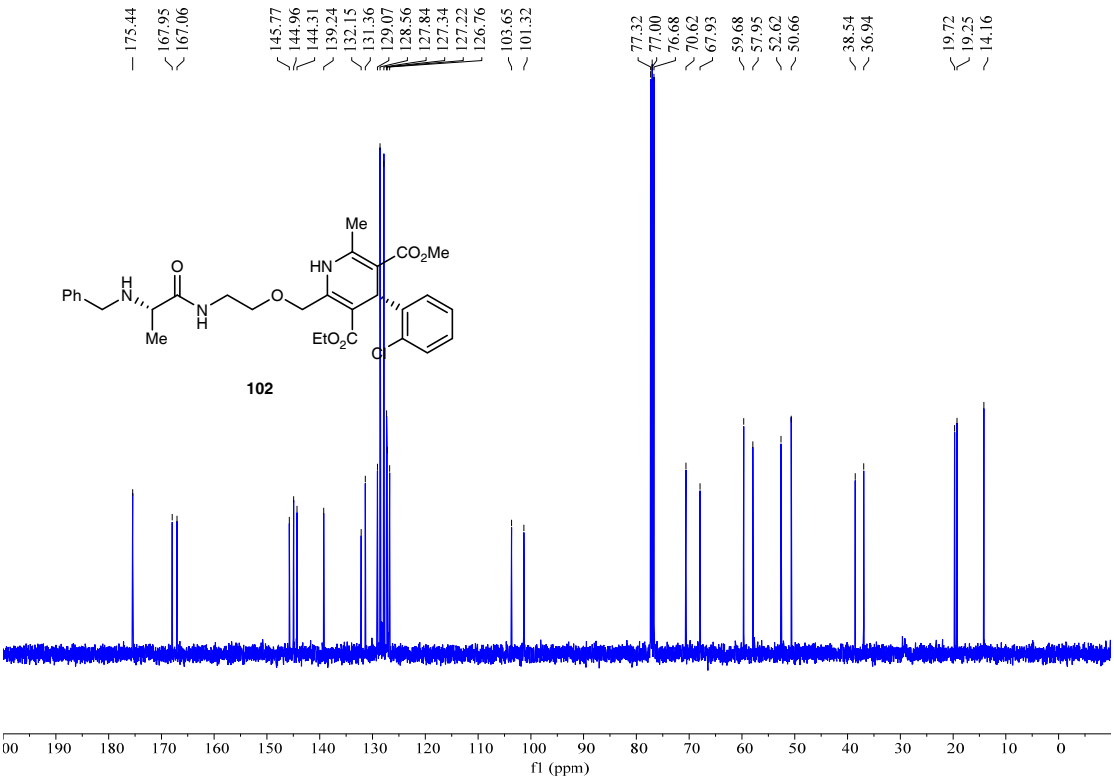
Me
CO2Me
HN
Ph
H
N
O
N
H
O
Me
EtO2C
Cl
102
1.00 7.11 1.02 1.03 1.01 1.01 2.02 2.19 2.01 5.08 2.01 1.01 3.01 1.36 3.03 3.02
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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Me
CO2Me
HN
Ph
H
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H
O
Me
EtO2C
Cl
102
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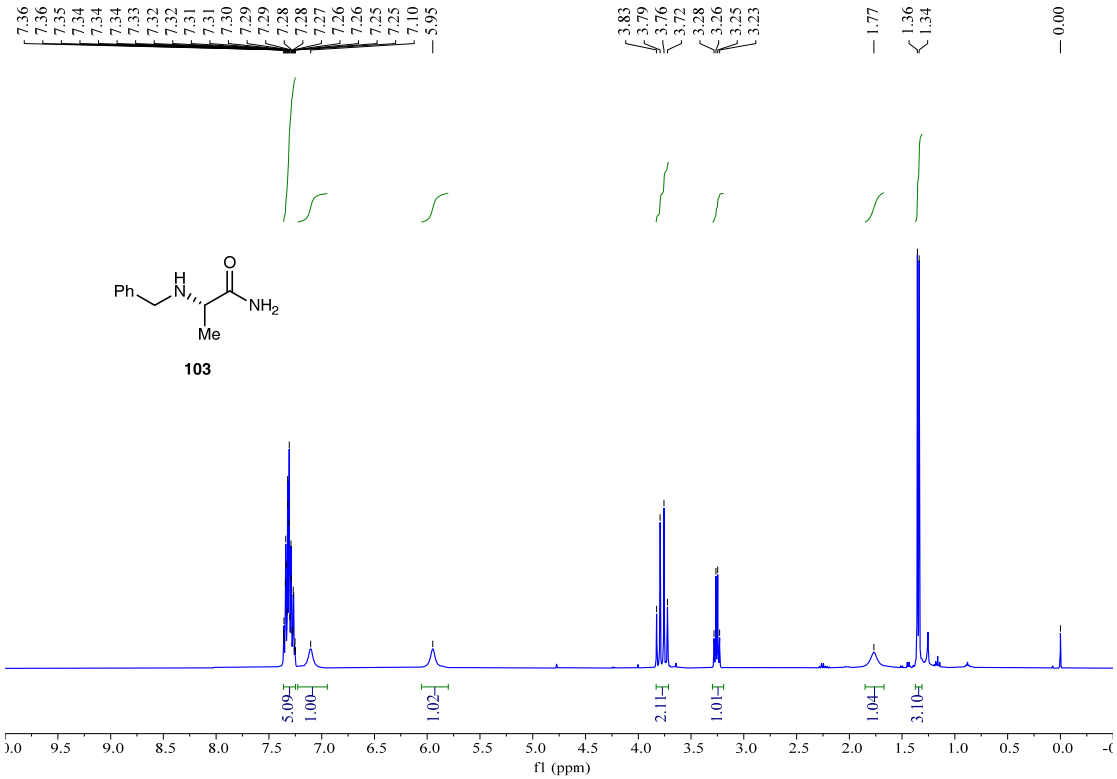
f1 (ppm)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3.76
3.72
3.28
3.26
3.25
3.23
1.77
1.36
1.34
0.00
Ph
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Me
103
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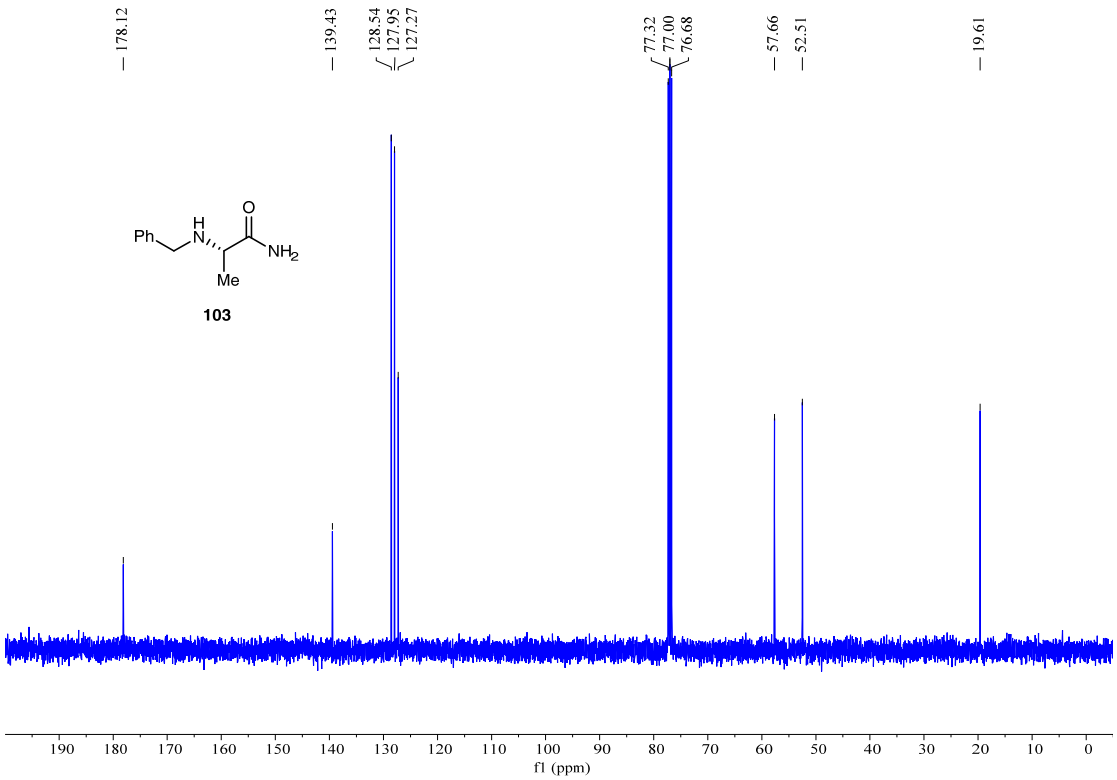
2.11
1.01
1.04
3.10
f1 (ppm)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139.43
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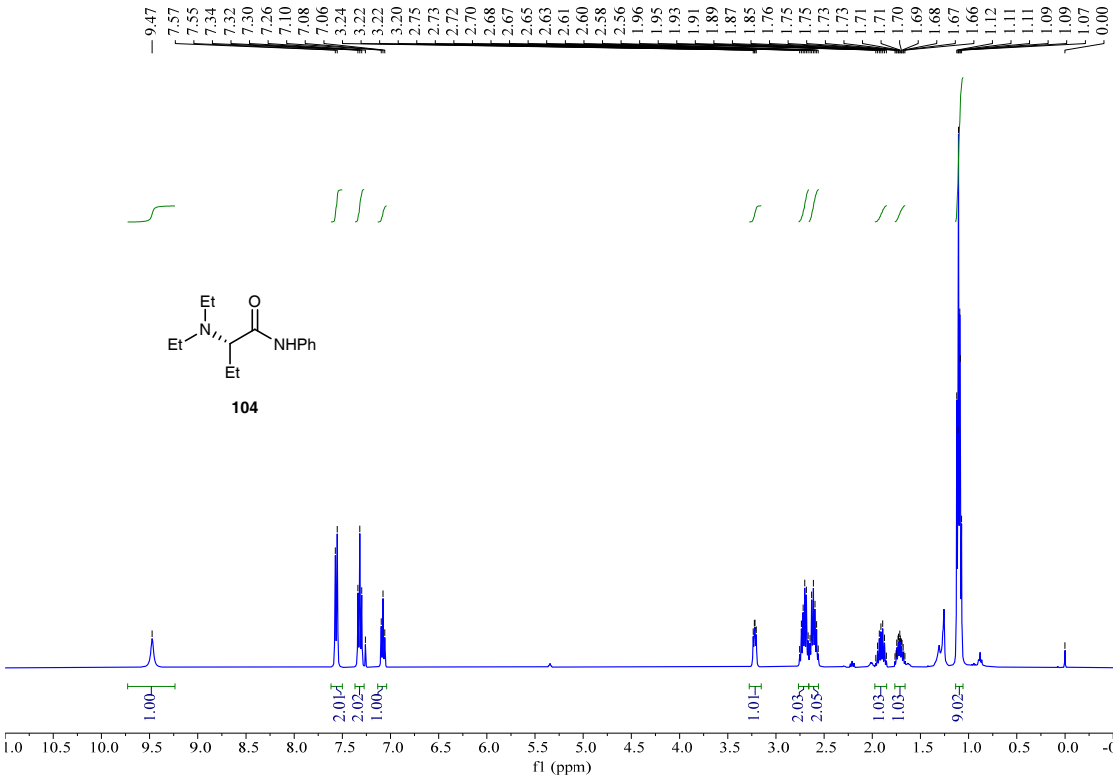
127.95
127.27
77.32
77.00
76.68
57.66
52.51
19.61
Ph
N
H
O
NH2
Me
103
f1 (ppm)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7.34
7.32
7.30
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7.08
7.06
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3.22
3.22
3.20
2.75
2.73
2.72
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1.73
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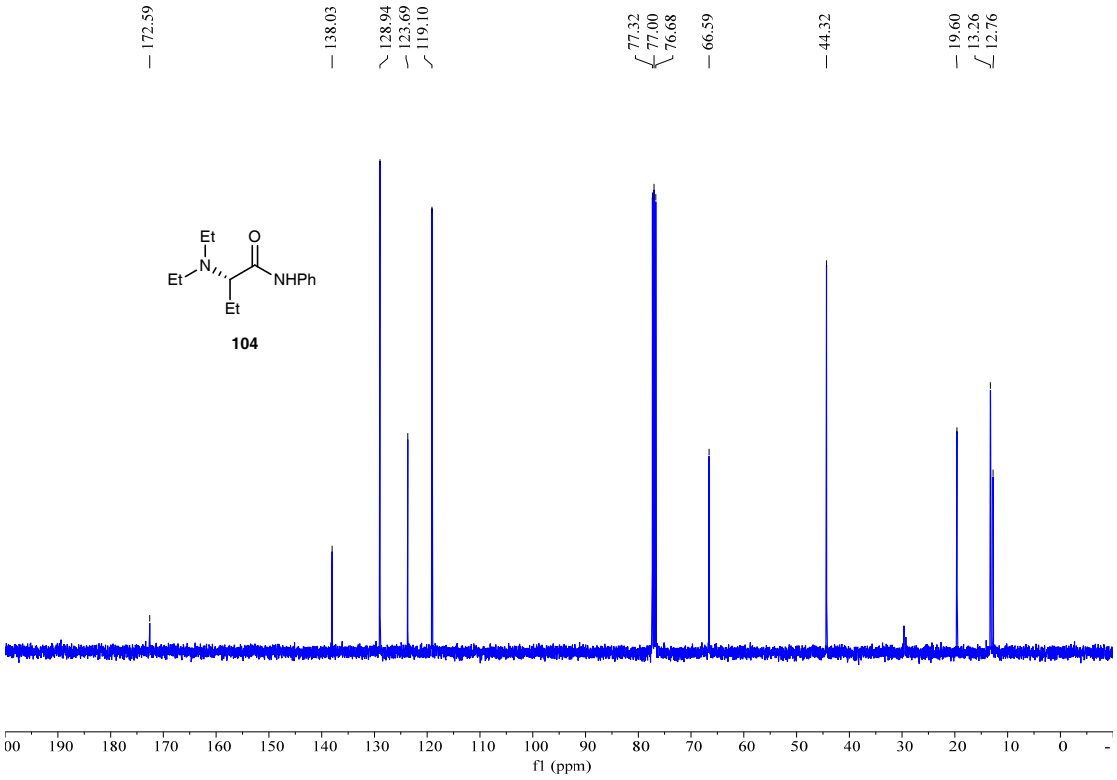
1.67
1.66
1.12
1.11
1.11
1.09
1.09
1.07
0.00
Et
O
N
Et
NHPh
Et
104
1.00
2.01
2.02
1.00
1.01
2.03
2.05
1.03
1.03
9.02
f1 (ppm)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138.03
128.94
123.69
119.10
77.32
77.00
76.68
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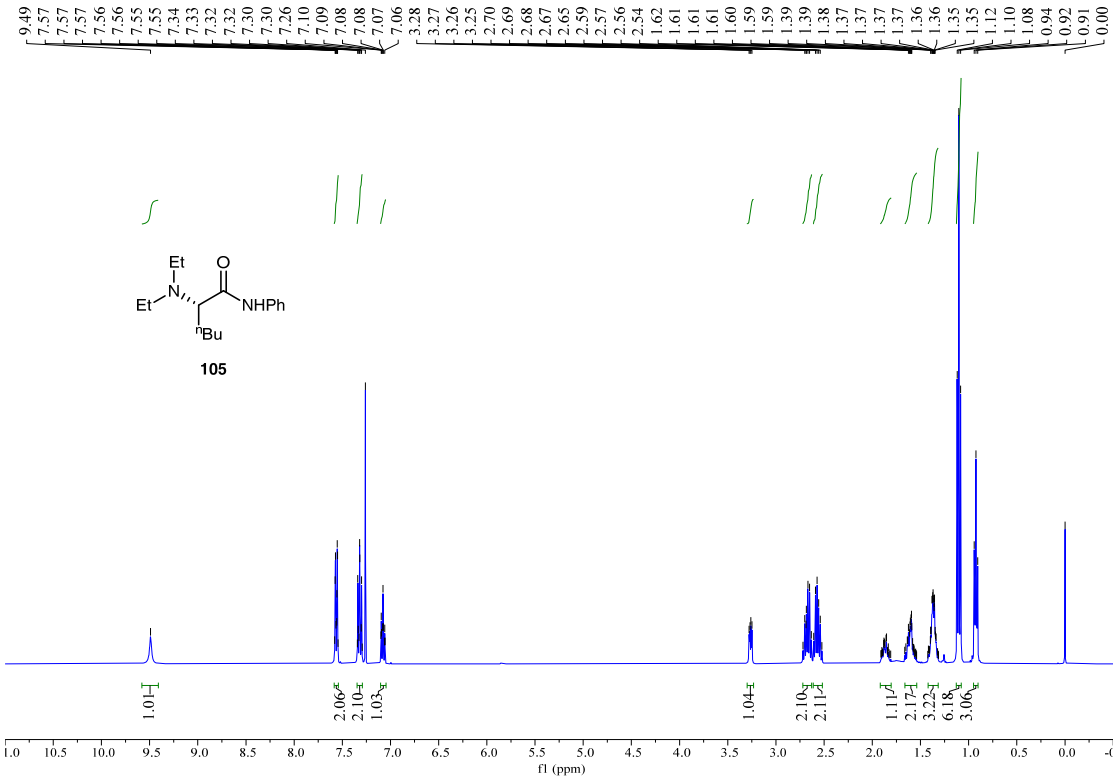
44.32
19.60
13.26
12.76
Et
O
N
Et
NHPh
Et
104
f1 (ppm)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3.28 3.27 3.26 3.25 2.70 2.69 2.68 2.67 2.65 2.59 2.57 2.56 2.54 1.62 1.61 1.61 1.61 1.60 1.59 1.59 1.39 1.39 1.38 1.37 1.37 1.37 1.37 1.36 1.36 1.35 1.35 1.12 1.10 1.08 0.94 0.92 0.91 0.00
Et
N
Et
O
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nBu
105
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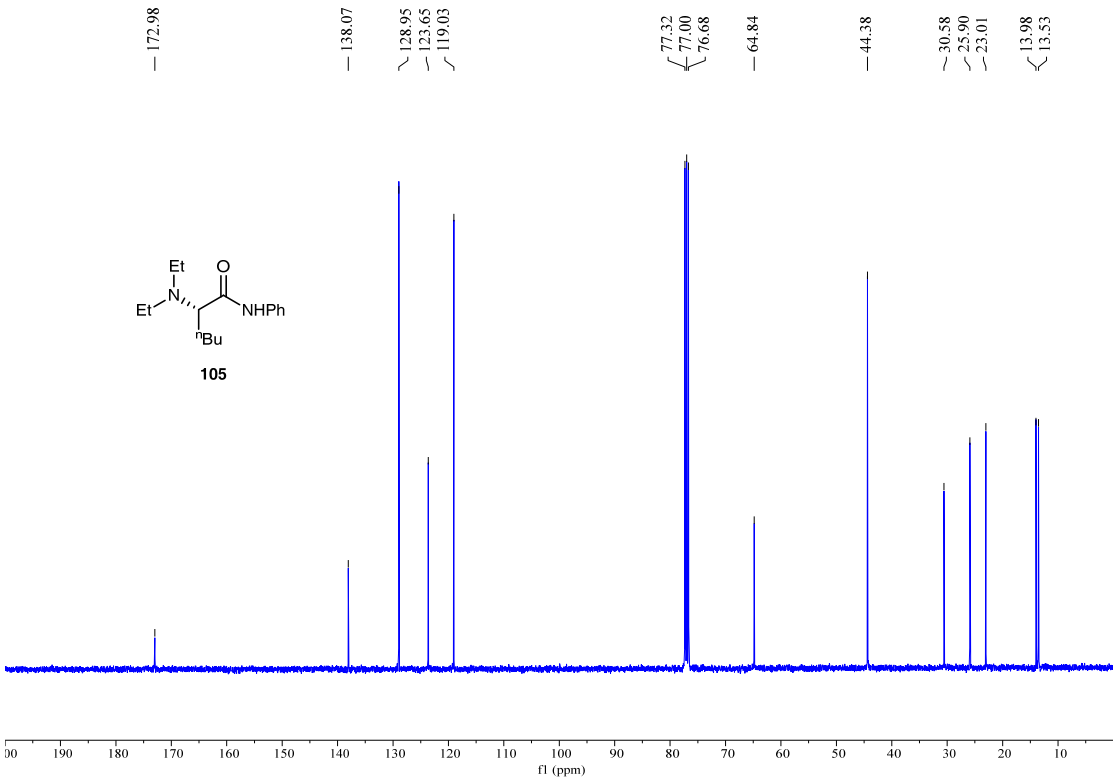
f1 (ppm)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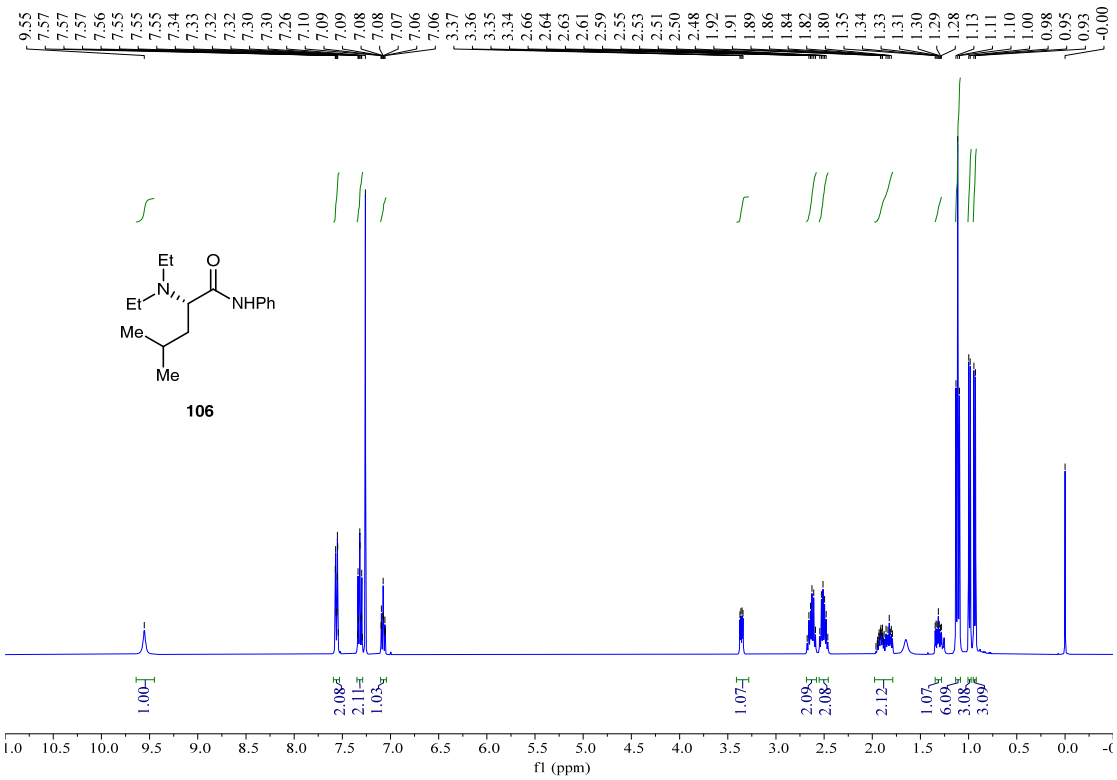
Et
N
Et
O
NHPh
nBu
105
f1 (ppm)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N
Et
NHPh
Me
Me
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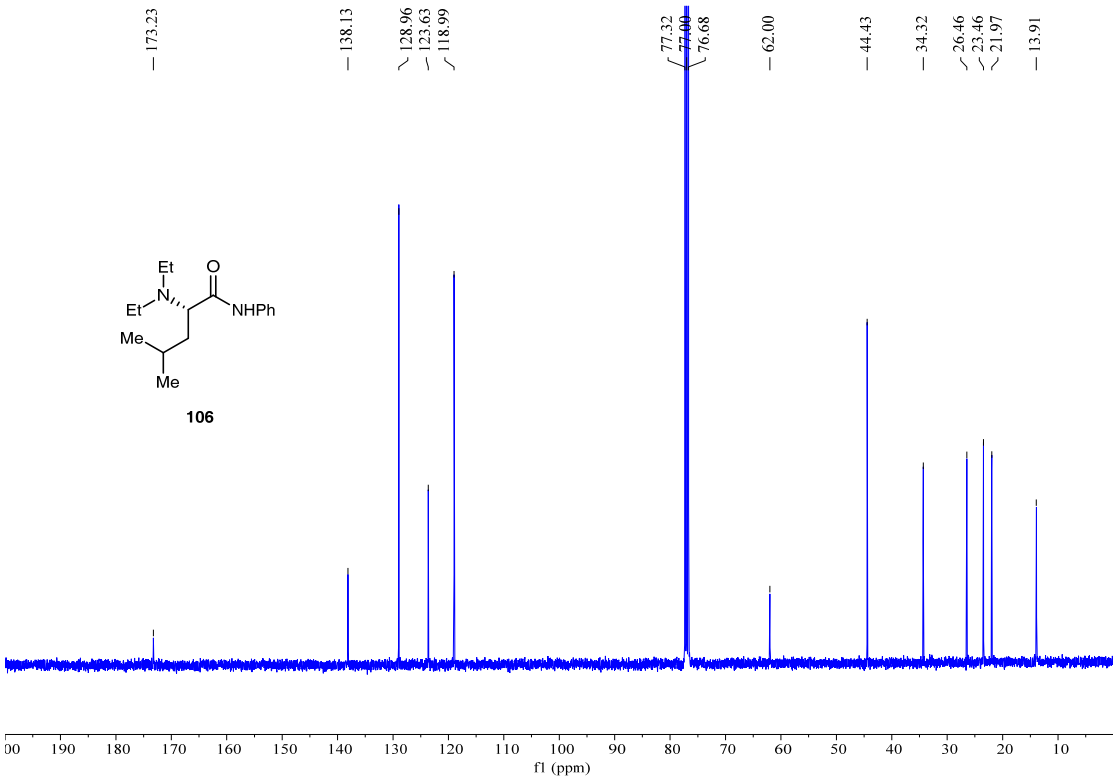
fl (ppm)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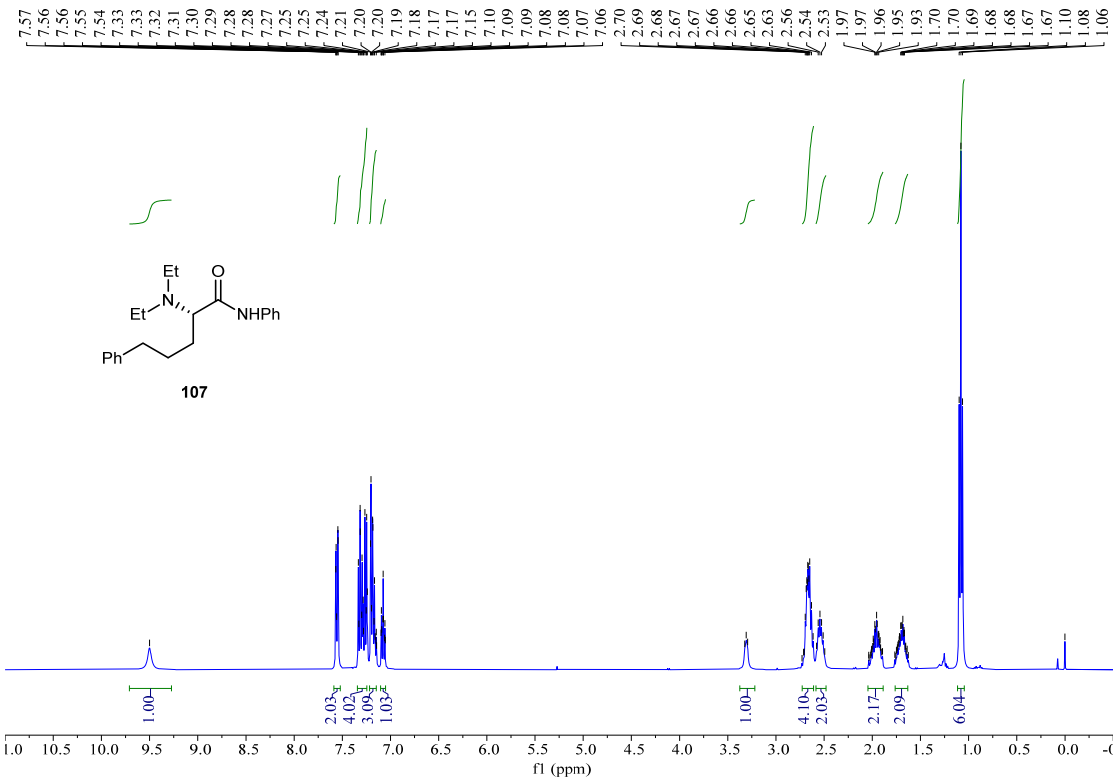
Me
Me
106
fl (ppm)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O
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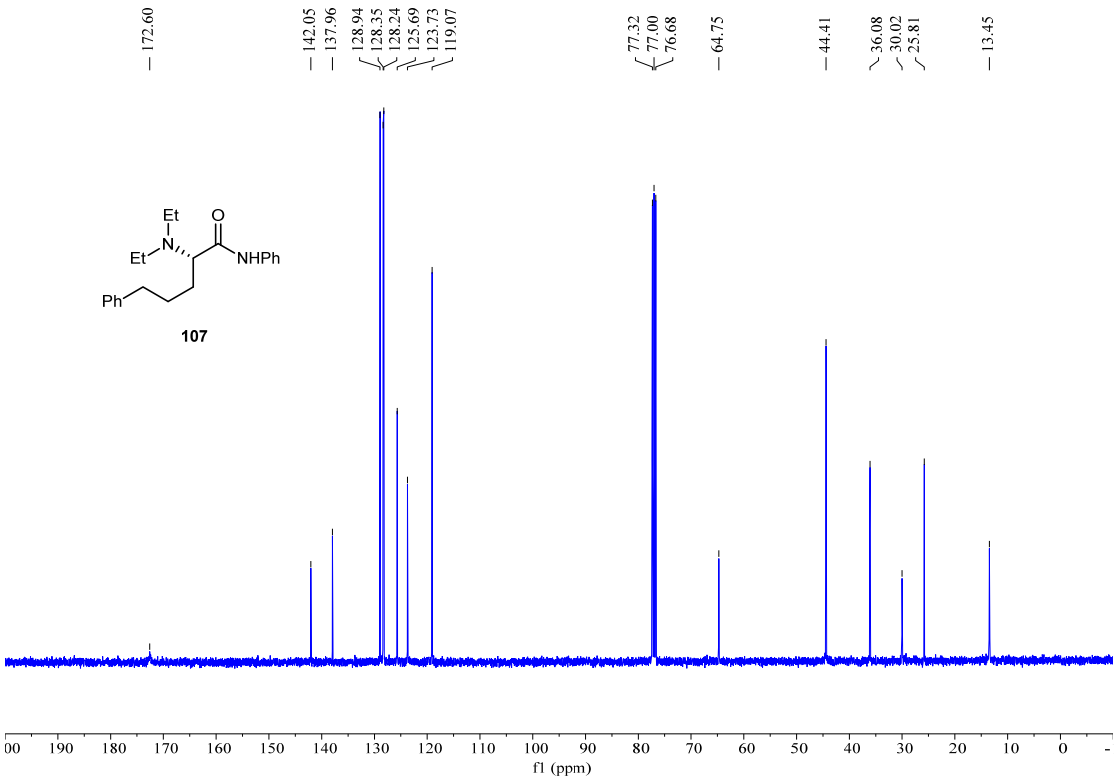
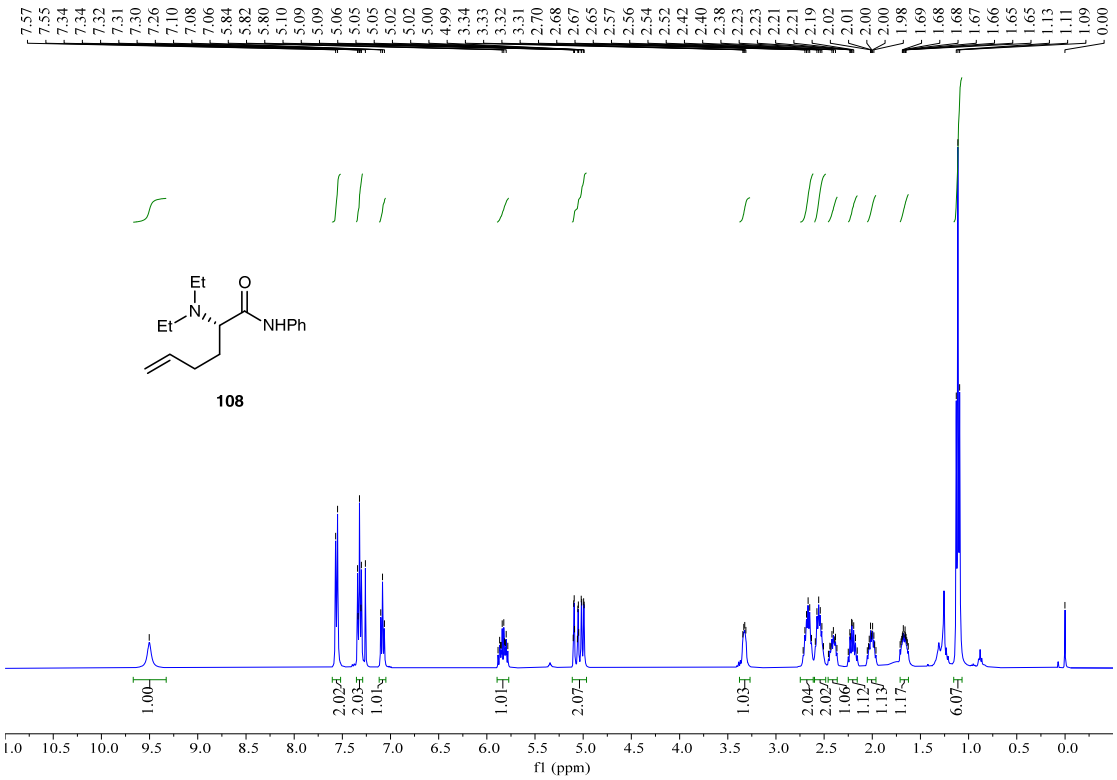
NHPh
Ph
107
f1 (ppm)


Et
O
N
Et
NHPh
Ph
107
f1 (ppm)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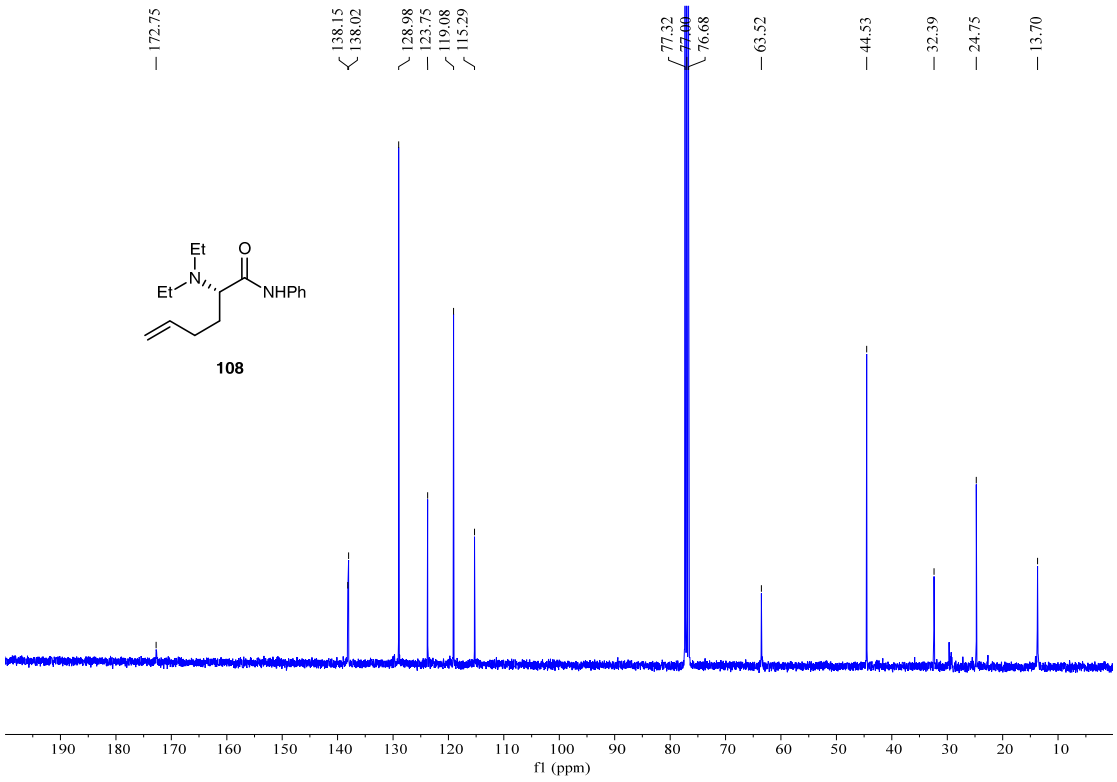
Et
N
Et
O
NHPh
108
1.00 2.02 2.03 1.01 1.01 2.07 1.03 2.04 2.02 1.06 1.12 1.13 1.17 6.07
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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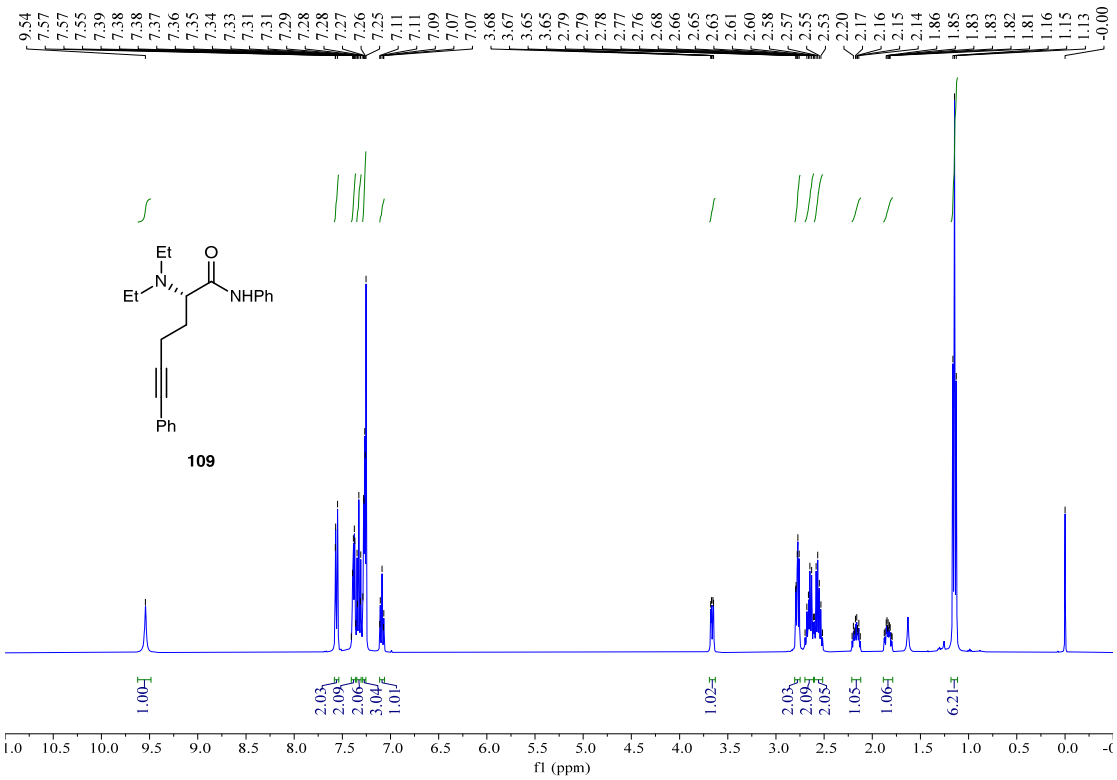
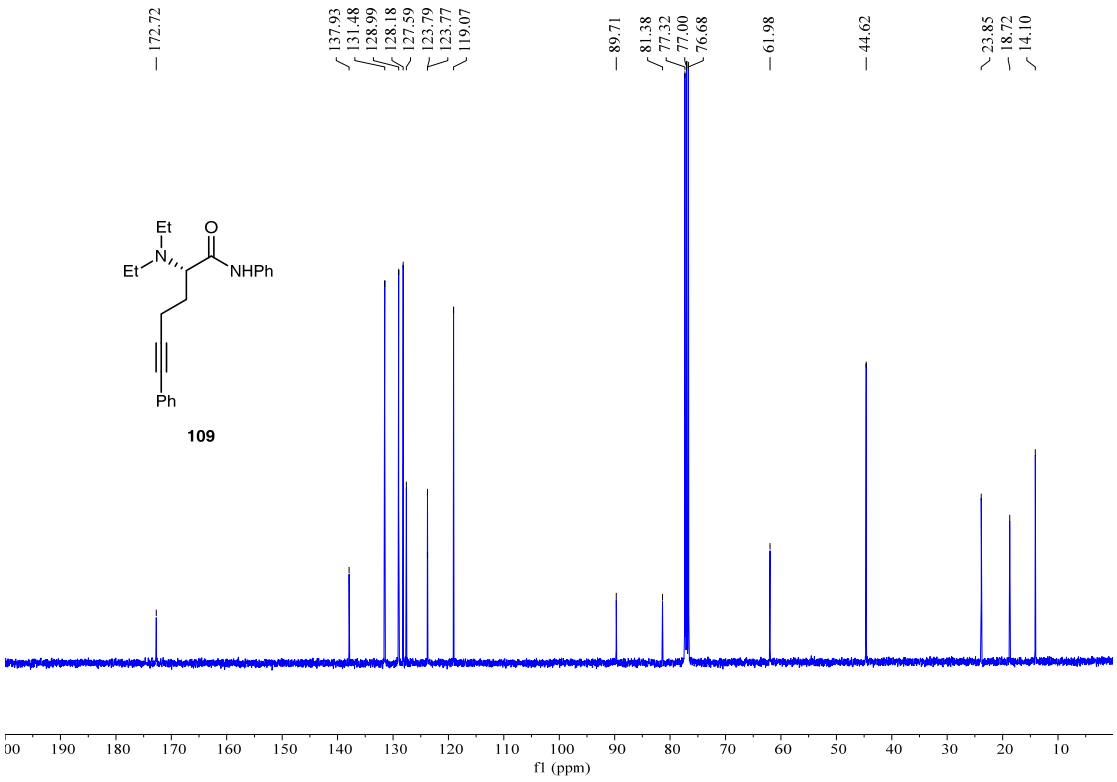
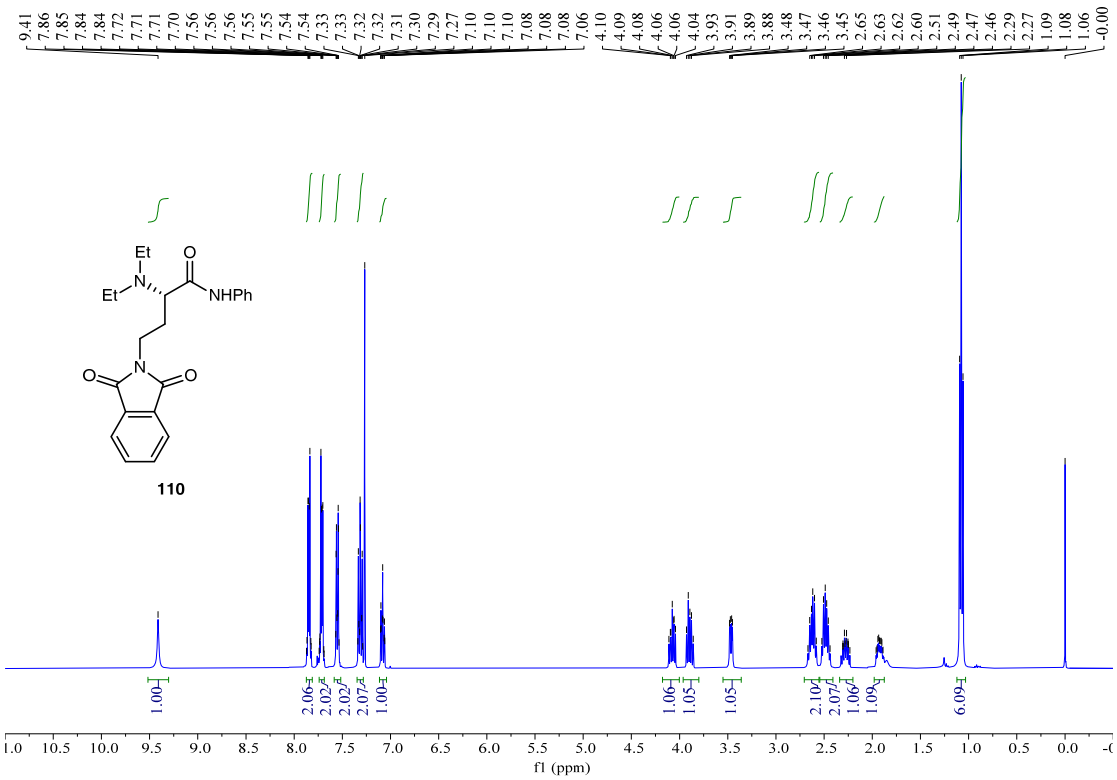
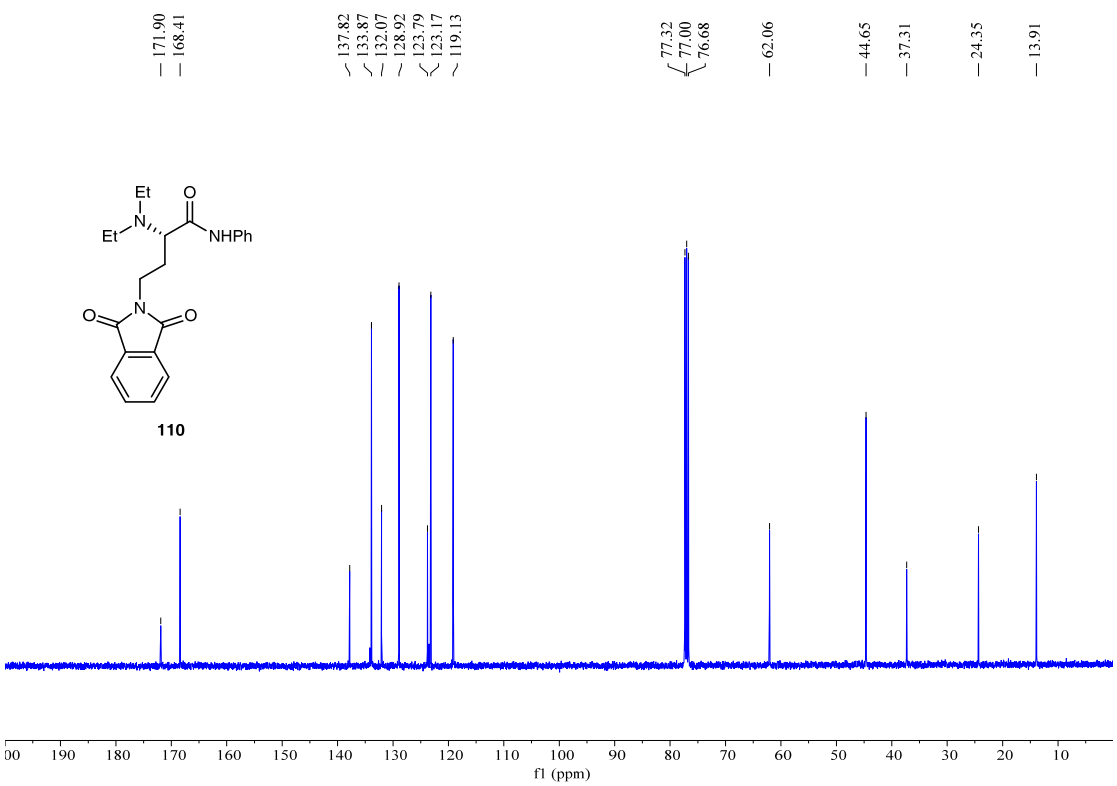
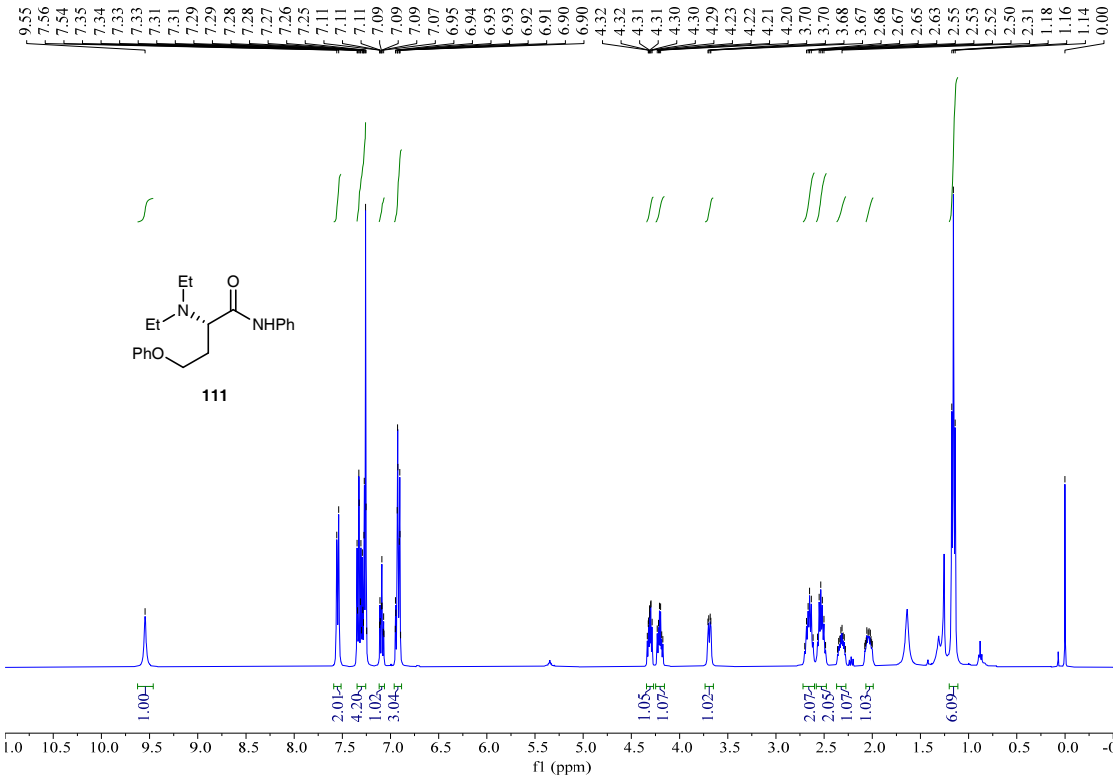
138.15 138.02 128.98 123.75 119.08 115.29
77.32 77.00 76.68
63.52
44.53
32.39
24.75
13.70
Et
N
Et
O
NHPh
108
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

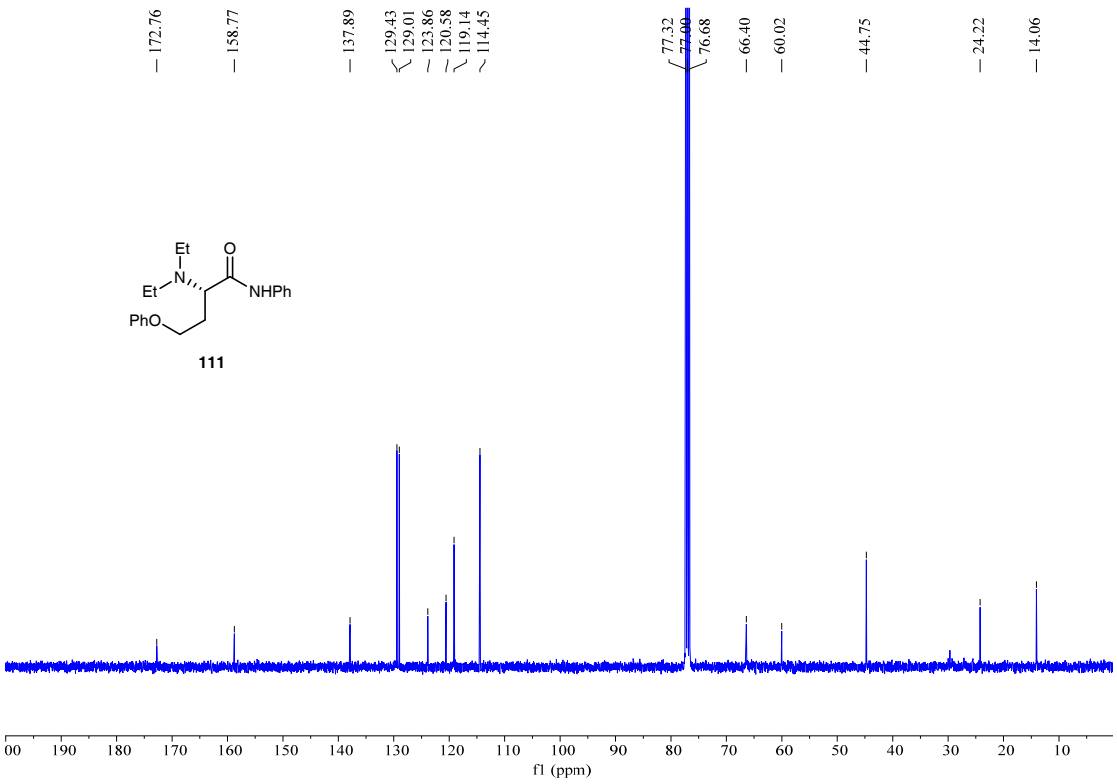

Et
O
N
Et
NHPh
Ph
109
fl (ppm)

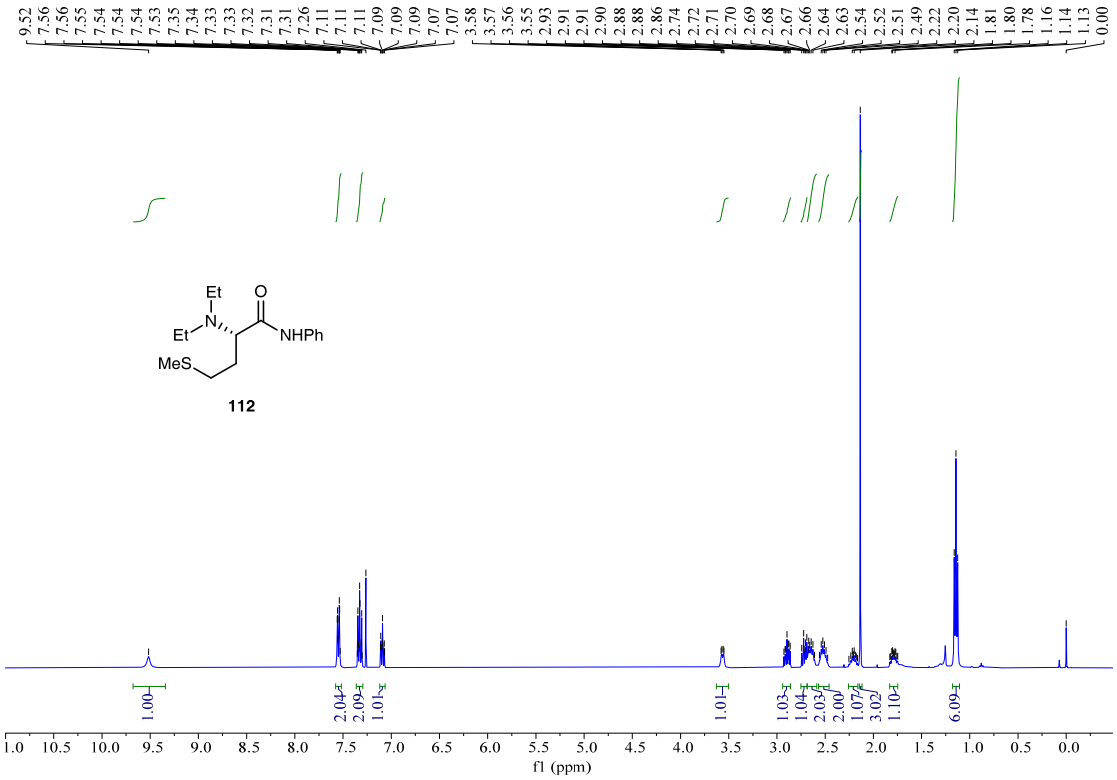

Et
O
N
Et
NHPh
Ph
109
fl (ppm)

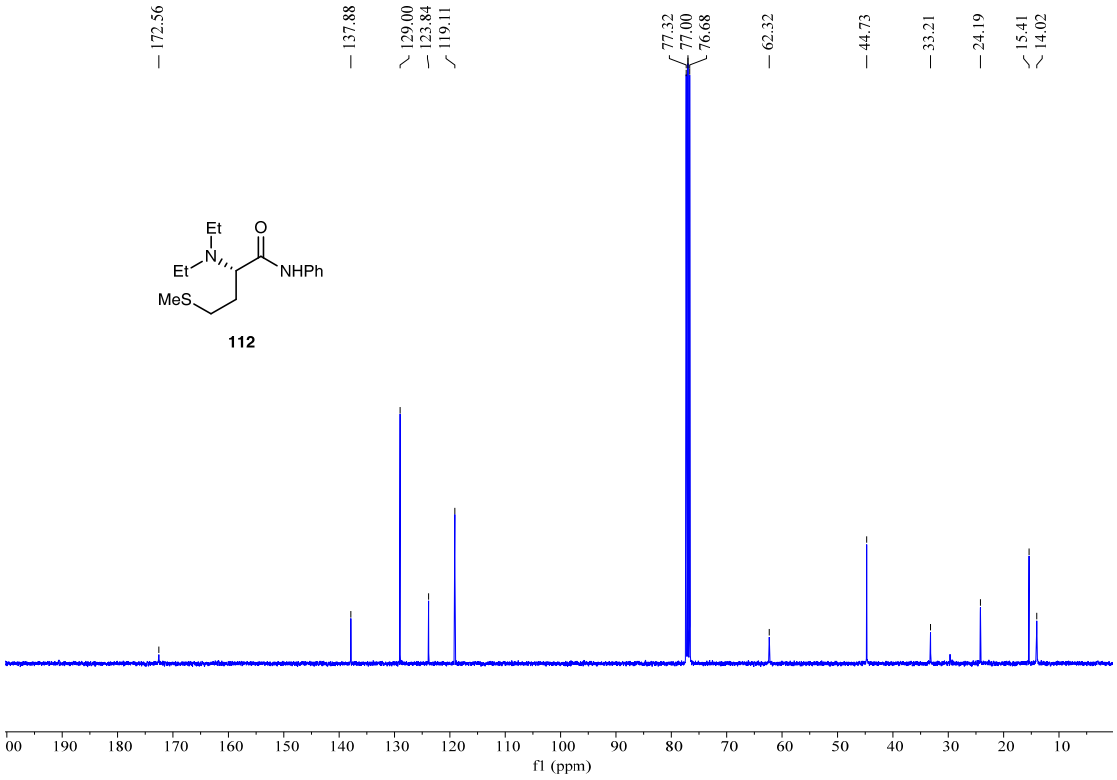

9.41 7.86 7.85 7.84 7.84 7.72 7.71 7.71 7.70 7.56 7.56 7.56 7.55 7.55 7.54 7.54 7.33 7.33 7.32 7.32 7.31 7.30 7.29 7.27 7.10 7.10 7.10 7.08 7.08 7.08 7.06
4.10 4.09 4.08 4.06 4.06 4.04 3.93 3.91 3.89 3.88 3.48 3.47 3.46 3.45 2.65 2.63 2.62 2.60 2.51 2.49 2.47 2.46 2.29 2.27 1.09 1.08 1.06 -0.00
Et
N
Et
O
NHPh
N
O
O
110
1.00 2.06 2.02 2.02 2.07 1.00 1.06 1.05 1.05 2.10 2.07 1.06 1.09 6.09
f1 (ppm)


171.90 168.41 137.82 133.87 132.07 128.92 123.79 123.17 119.13 77.32 77.00 76.68 62.06 44.65 37.31 24.35 13.91
Et
N
Et
O
NHPh
N
O
O
110
f1 (ppm)


9.55 7.56 7.54 7.35 7.34 7.33 7.33 7.31 7.31 7.29 7.29 7.28 7.28 7.27 7.26 7.25 7.11 7.11 7.11 7.09 7.09 7.09 7.07 6.95 6.94 6.93 6.93 6.92 6.91 6.90 6.90
4.32 4.32 4.31 4.31 4.30 4.30 4.29 4.23 4.22 4.21 4.20 3.70 3.70 3.68 3.67 2.68 2.67 2.65 2.63 2.55 2.53 2.52 2.50 2.31 1.18 1.16 1.14 0.00
Et
O
N
Et
NHPh
PhO
111
1.00 2.01 4.20 1.02 3.04 1.05 1.07 1.02 2.07 2.05 1.07 1.03 6.09
f1 (ppm)


172.76 158.77 137.89 129.43 129.01 123.86 120.58 119.14 114.45
77.32 77.00 76.68 66.40 60.02 44.75 24.22 14.06
Et
O
N
Et
NHPh
PhO
111
f1 (ppm)


9.52 7.56 7.56 7.55 7.54 7.54 7.54 7.53 7.35 7.34 7.33 7.33 7.32 7.31 7.31 7.26 7.11 7.11 7.11 7.09 7.09 7.09 7.07 7.07 3.58 3.57 3.56 3.55 2.93 2.91 2.91 2.90 2.88 2.88 2.86 2.74 2.72 2.71 2.70 2.69 2.68 2.67 2.66 2.64 2.63 2.54 2.52 2.51 2.49 2.22 2.20 2.14 1.81 1.80 1.78 1.16 1.14 1.13 0.00
Et
N
Et
O
NHPh
MeS
112
1.00 2.04 2.09 1.01 1.01 1.03 1.04 2.03 2.00 1.07 3.02 1.10 6.09
f1 (ppm)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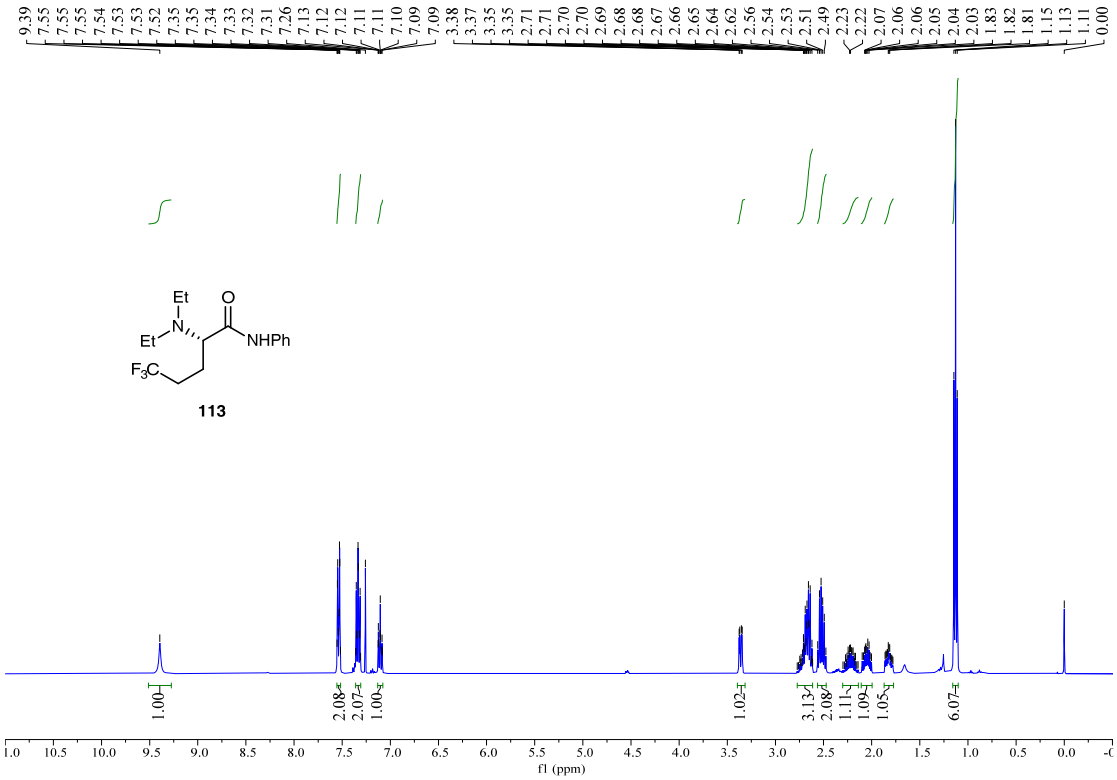
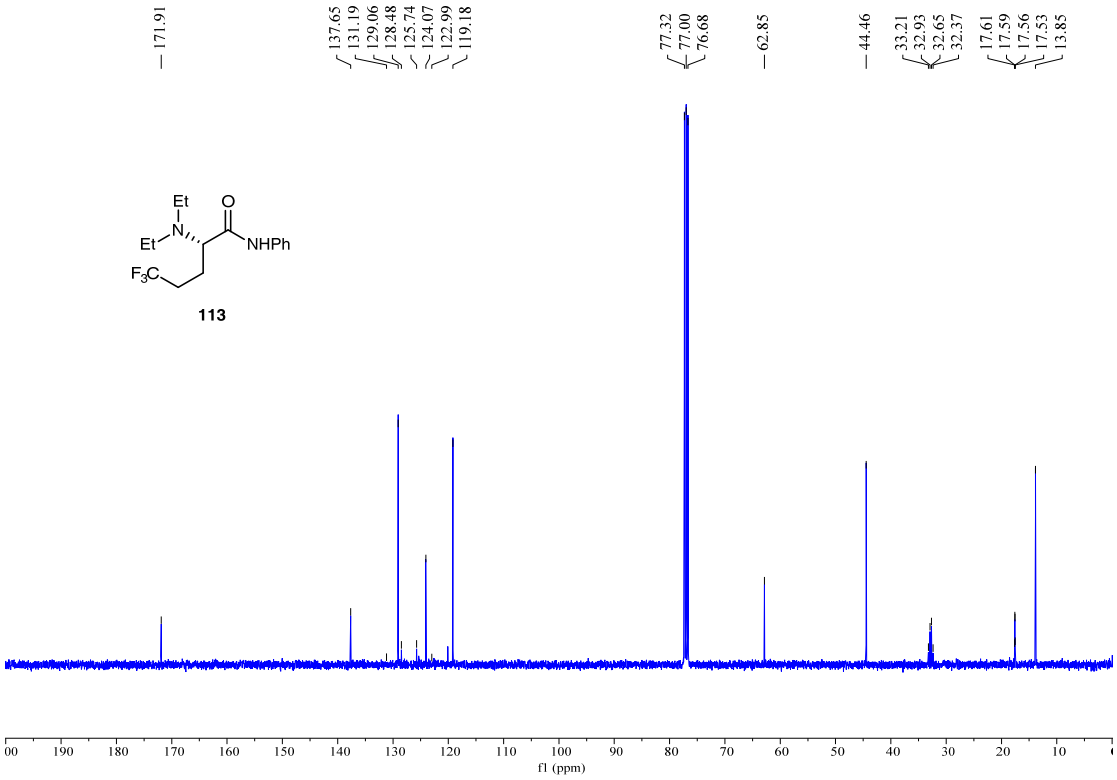
Et
N
Et
O
NHPh
MeS
112
f1 (ppm)


9.39 7.55 7.55 7.55 7.54 7.53 7.53 7.52 7.35 7.35 7.34 7.33 7.32 7.31 7.26 7.13 7.12 7.12 7.11 7.11 7.10 7.09 7.09
3.38 3.37 3.35 3.35 2.71 2.71 2.70 2.70 2.69 2.68 2.68 2.67 2.66 2.65 2.64 2.62 2.56 2.54 2.53 2.51 2.49 2.23 2.22 2.07 2.06 2.06 2.05 2.04 2.03 1.83 1.82 1.81 1.15 1.13 1.11 0.00
Et
N
Et
O
NHPh
F3C
113
1.00 2.08 2.07 1.00 1.02 3.13 2.08 1.11 1.09 1.05 6.07
f1 (ppm)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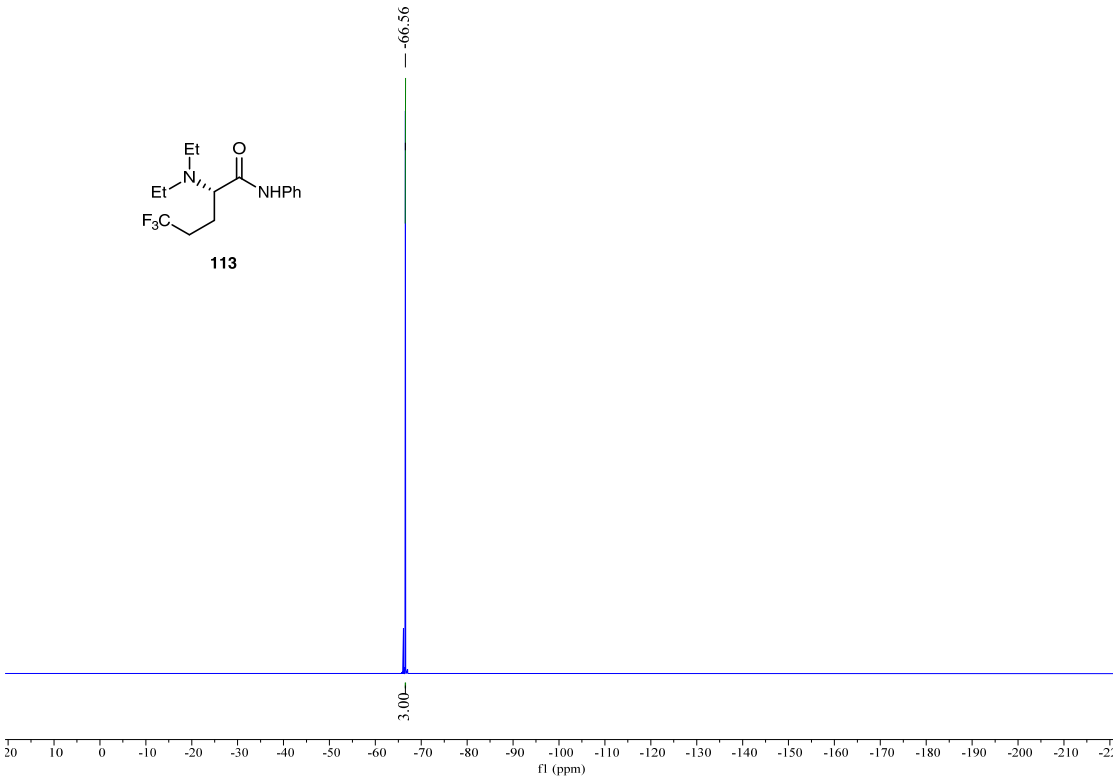
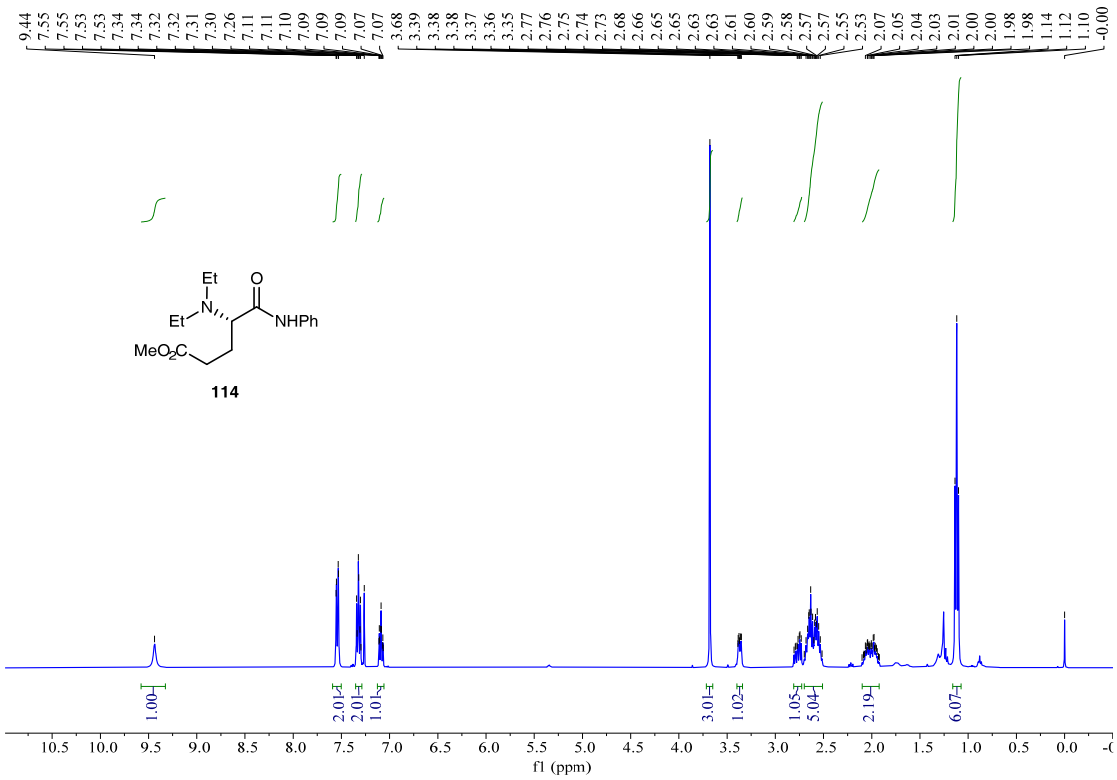
137.65 131.19 129.06 128.48 125.74 124.07 122.99 119.18
77.32 77.00 76.68
62.85
44.46
33.21 32.93 32.65 32.37
17.61 17.59 17.56 17.53 13.85
Et
N
Et
O
NHPh
F3C
113
f1 (ppm)


-66.56
Et
O
Et
N
NHPh
F3C
113
3.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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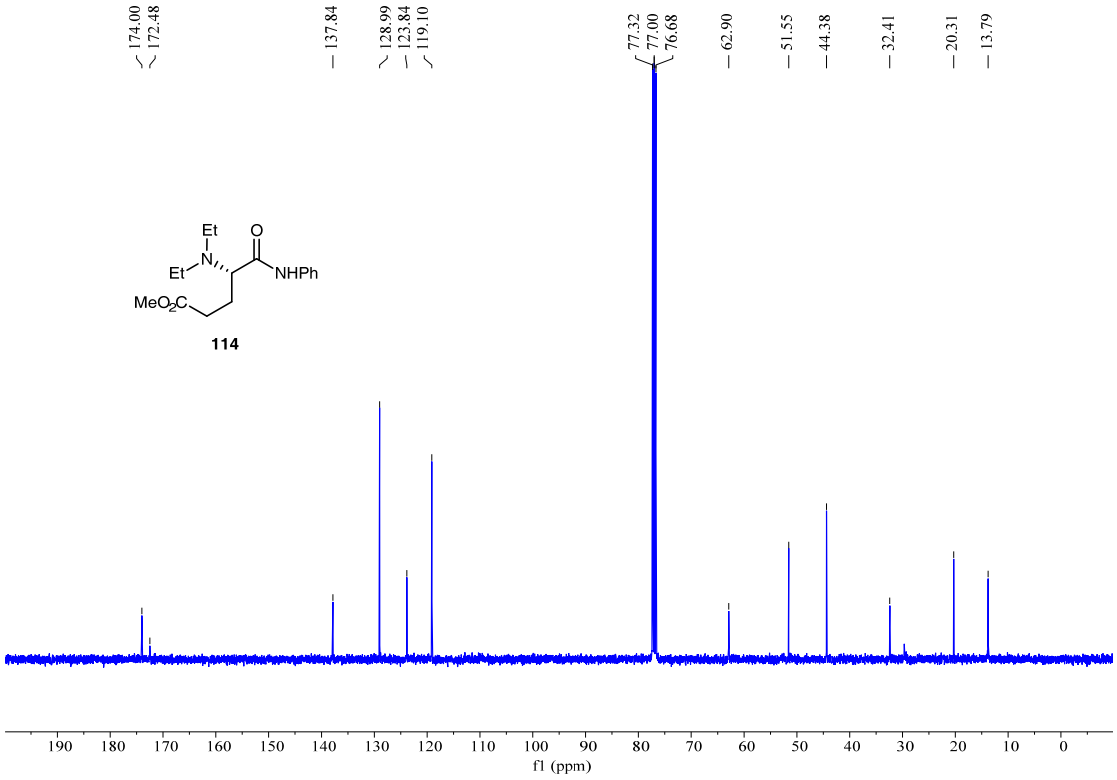
Et
N
Et
O
NHPh
MeO2C
114
1.00 2.01 2.01 1.01 3.01 1.02 1.05 5.04 2.19 6.07
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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Et
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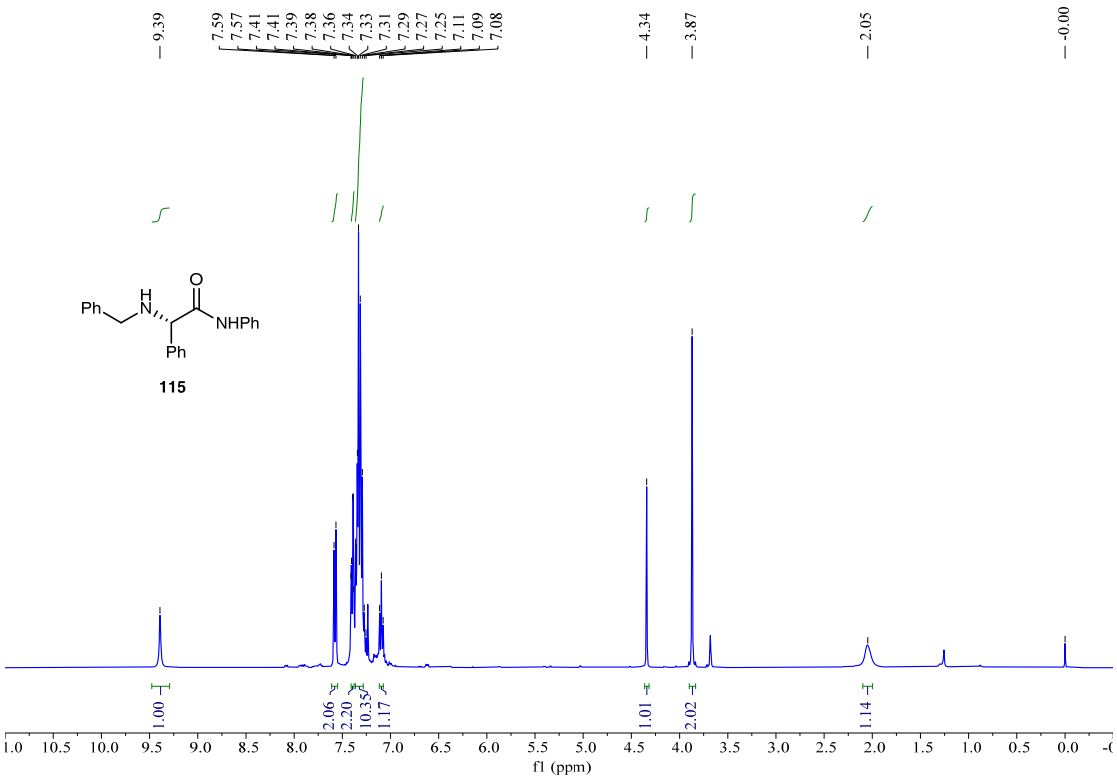
Et
O
NHPh
MeO2C
114
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.59
7.57
7.41
7.41
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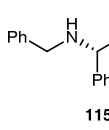
7.36
7.34
7.33
7.31
7.29
7.27
7.25
7.11
7.09
7.08
4.34
3.87
2.05
-0.00
1.00
2.06
2.20
10.35
1.17
1.01
2.02
1.14
f1 (ppm)


Ph
H
N
O
NHPh
Ph

115

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138.85
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137.59
128.97
128.94
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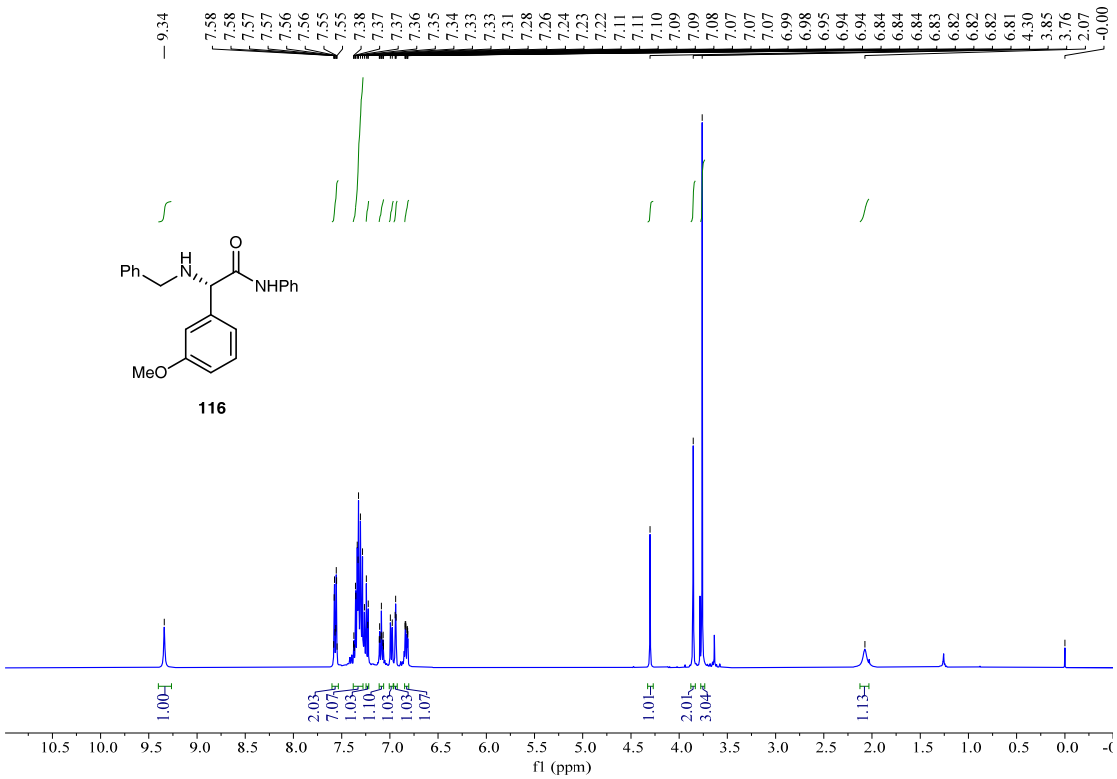
128.32
128.14
127.54
127.18
124.21
119.42
77.32
77.00
76.68
67.61
52.77
f1 (ppm)

Ph
H
N
O
NHPh
Ph

115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7.56
7.56
7.55
7.55
7.38
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7.37
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7.33
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7.09
7.09
7.08
7.07
7.07
7.07
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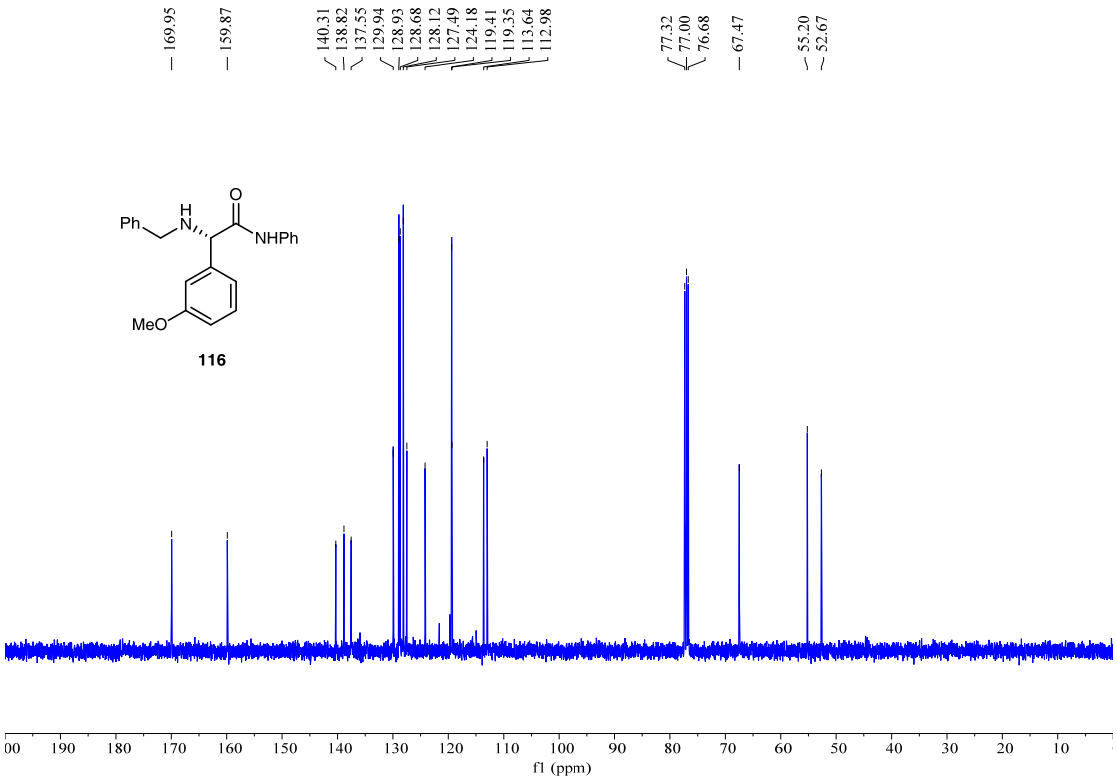
6.98
6.95
6.94
6.94
6.84
6.84
6.84
6.83
6.82
6.82
6.82
6.81
4.30
3.85
3.76
2.07
-0.00
Ph
N
H
O
NHPh
MeO
116
1.00
2.03
7.07
1.03
1.10
1.03
1.03
1.07
1.01
2.01
3.04
1.13
fl (ppm)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140.31
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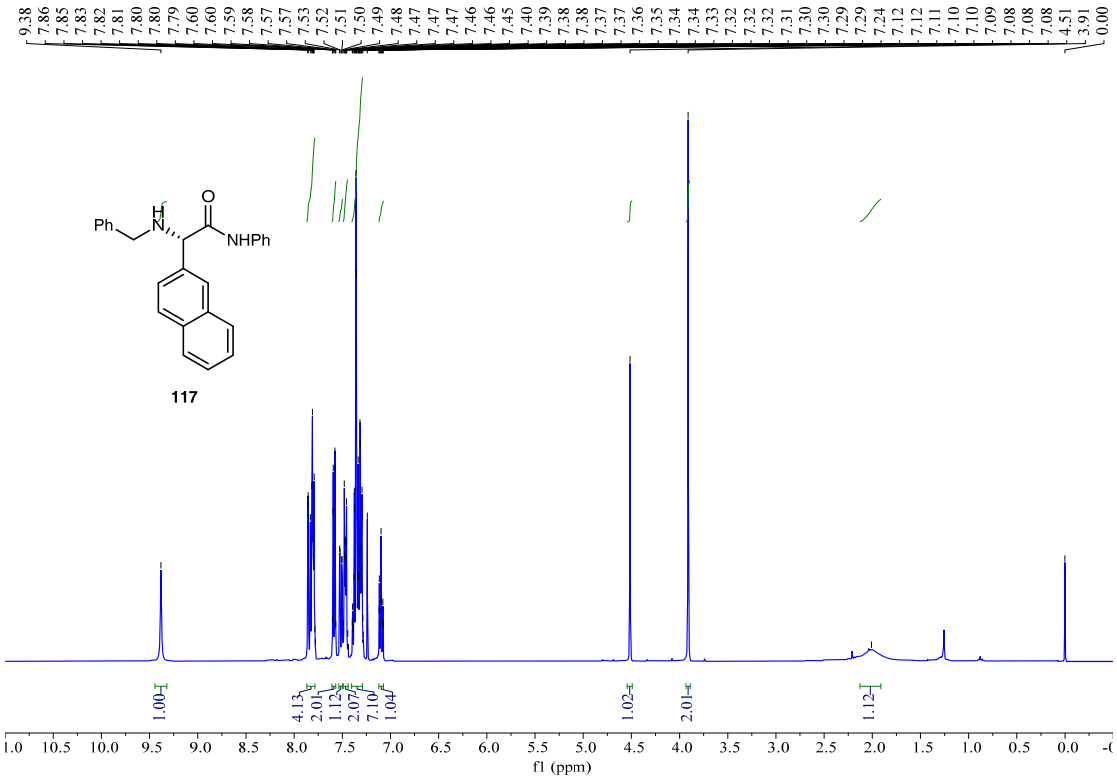
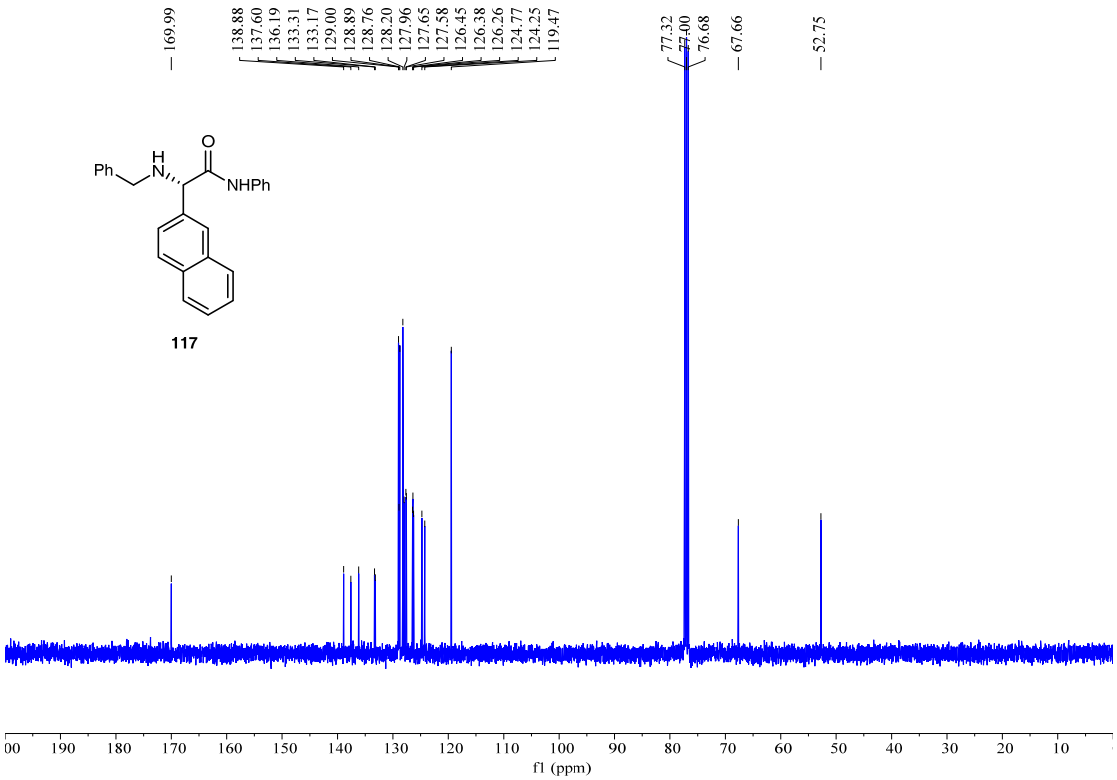
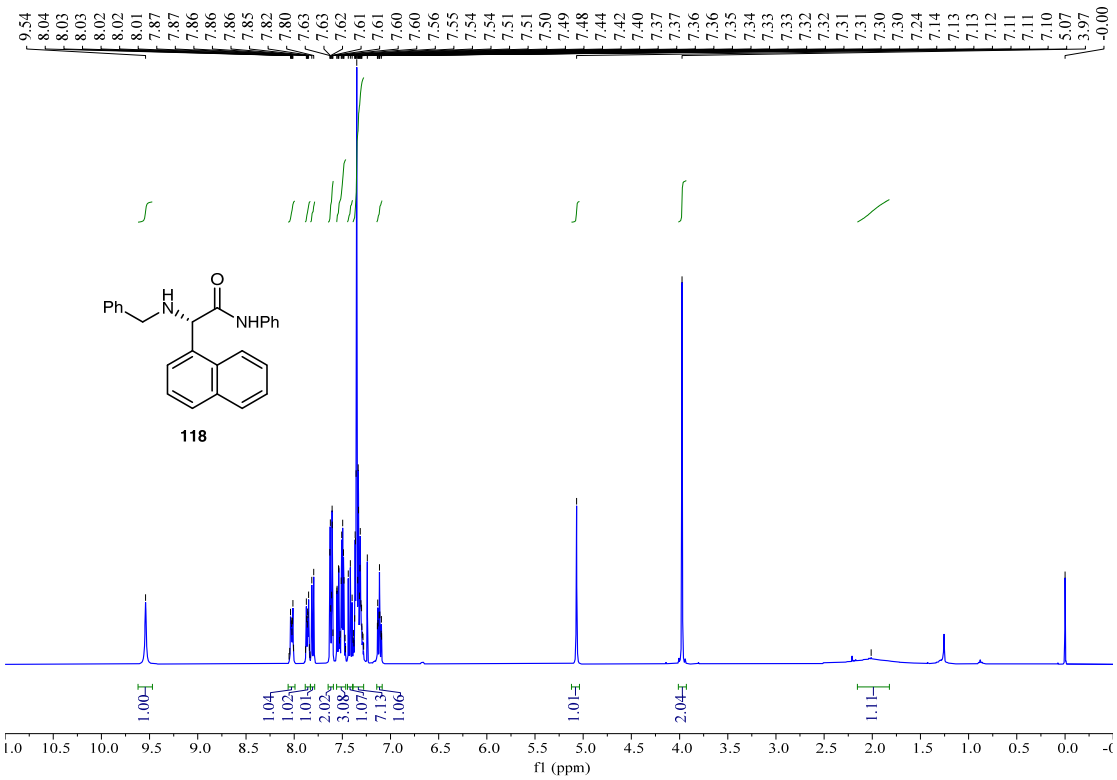
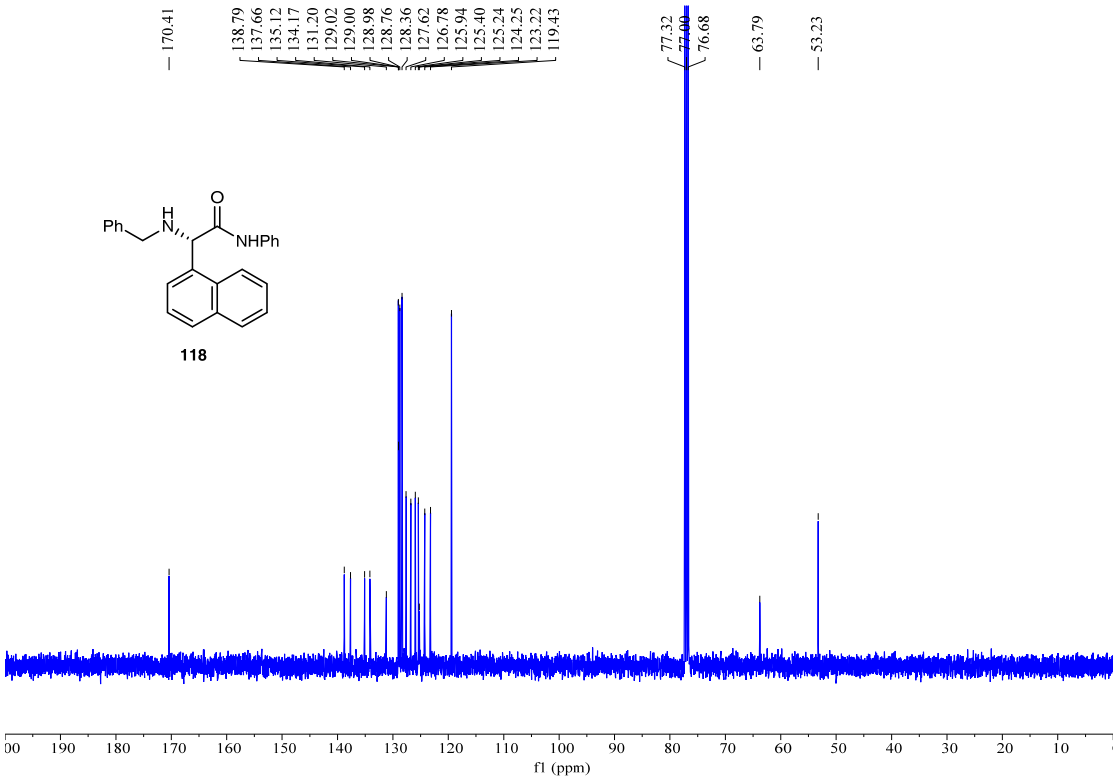
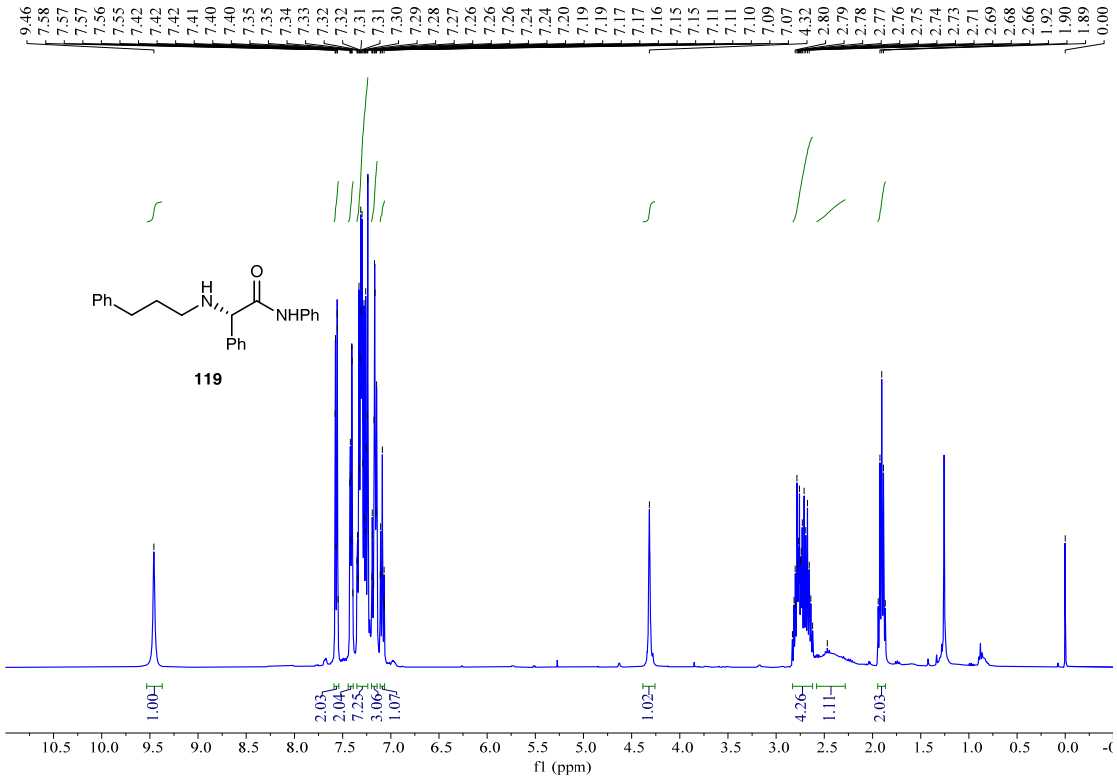
137.55
129.94
128.93
128.68
128.12
127.49
124.18
119.41
119.35
113.64
112.98
77.32
77.00
76.68
67.47
55.20
52.67
Ph
N
H
O
NHPh
MeO
116
fl (ppm)

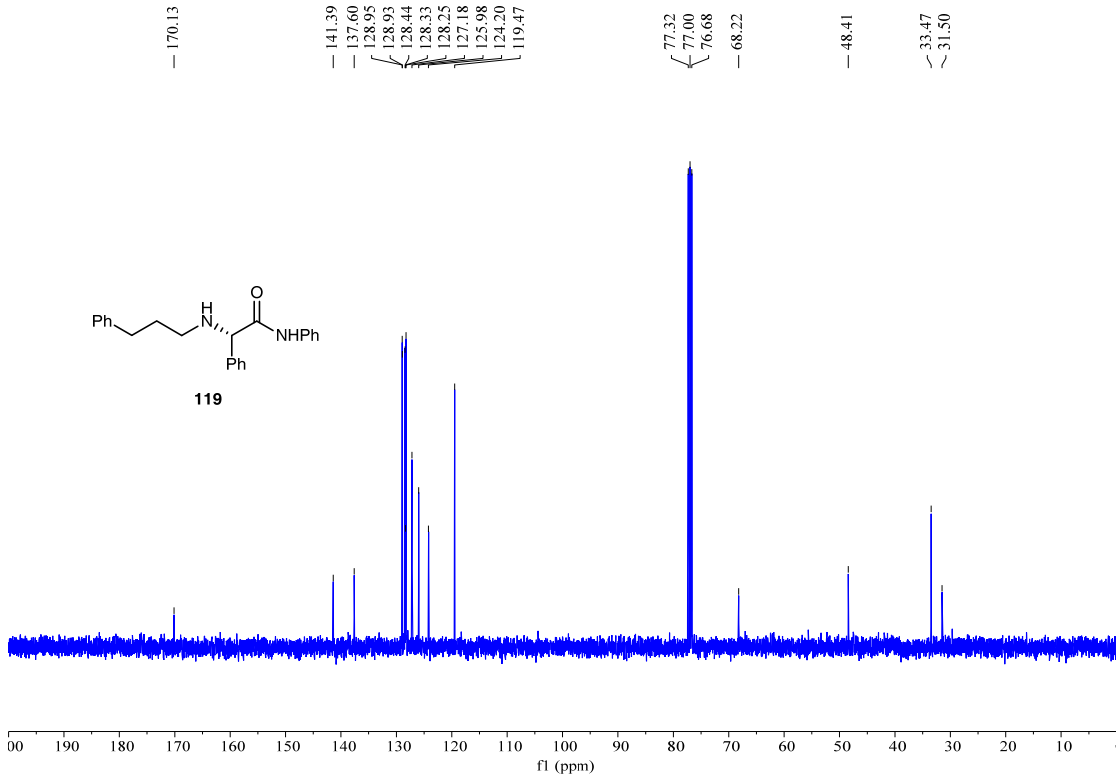

9.38 7.86 7.85 7.83 7.82 7.81 7.80 7.80 7.79 7.60 7.60 7.59 7.58 7.57 7.57 7.53 7.52 7.51 7.50 7.49 7.48 7.47 7.47 7.47 7.46 7.46 7.45 7.40 7.39 7.38 7.38 7.37 7.37 7.36 7.35 7.34 7.34 7.33 7.32 7.32 7.32 7.31 7.30 7.30 7.29 7.29 7.24 7.12 7.12 7.11 7.10 7.10 7.09 7.08 7.08 7.08 4.51 3.91 0.00
Ph
N
H
O
NHPh
117
1.00 4.13 2.01 1.12 2.07 7.10 1.04 1.02 2.01 1.12
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

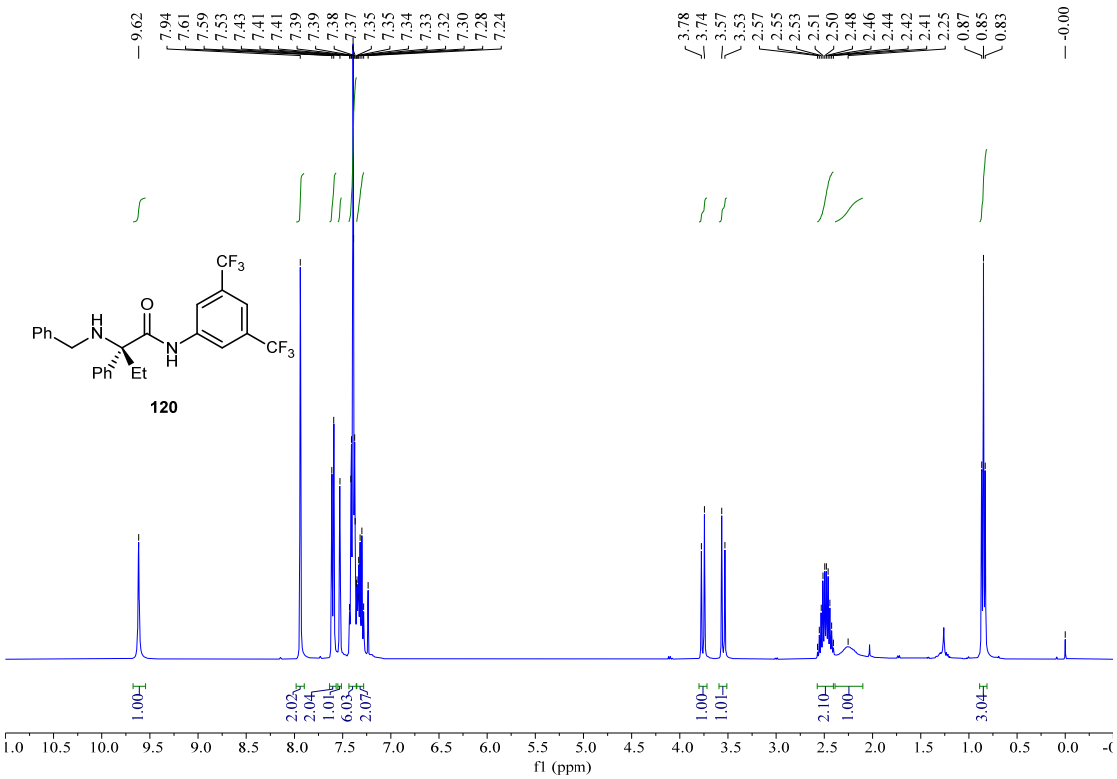

169.99
138.88 137.60 136.19 133.31 133.17 129.00 128.89 128.76 128.20 127.96 127.65 127.58 126.45 126.38 126.26 124.77 124.25 119.47
77.32 77.00 76.68
67.66
52.75
Ph
N
H
O
NHPh
117
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


9.54 8.04 8.03 8.03 8.02 8.02 8.01 7.87 7.87 7.86 7.86 7.86 7.85 7.82 7.80 7.63 7.63 7.62 7.61 7.61 7.60 7.60 7.56 7.55 7.54 7.54 7.51 7.51 7.50 7.49 7.48 7.44 7.42 7.40 7.37 7.37 7.36 7.36 7.35 7.34 7.33 7.33 7.32 7.32 7.31 7.31 7.30 7.30 7.24 7.14 7.13 7.13 7.12 7.11 7.11 7.10 5.07 3.97 -0.00
Ph
N
H
O
NHPh
118
1.00 1.04 1.02 1.01 2.02 3.08 1.07 7.13 1.06 1.01 2.04 1.11
fl (ppm)


170.41
138.79 137.66 135.12 134.17 131.20 129.02 129.00 128.98 128.76 128.36 127.62 126.78 125.94 125.40 125.24 124.25 123.22 119.43
77.32 77.00 76.68
63.79
53.23
Ph
N
H
O
NHPh
118
fl (ppm)


9.46 7.58 7.57 7.57 7.56 7.55 7.42 7.42 7.42 7.41 7.40 7.40 7.35 7.35 7.34 7.33 7.32 7.32 7.31 7.31 7.30 7.29 7.28 7.27 7.26 7.26 7.26 7.24 7.24 7.20 7.19 7.19 7.17 7.17 7.16 7.15 7.15 7.11 7.11 7.10 7.09 7.07 4.32 2.80 2.79 2.78 2.77 2.76 2.75 2.74 2.73 2.71 2.69 2.68 2.66 1.92 1.90 1.89 0.00
Ph
H
N
O
NHPh
Ph
119
1.00 2.03 2.04 7.25 3.06 1.07 1.02 4.26 1.11 2.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


170.13 141.39 137.60 128.95 128.93 128.44 128.33 128.25 127.18 125.98 124.20 119.47 77.32 77.00 76.68 68.22 48.41 33.47 31.50
Ph
H
N
O
NHPh
Ph
119
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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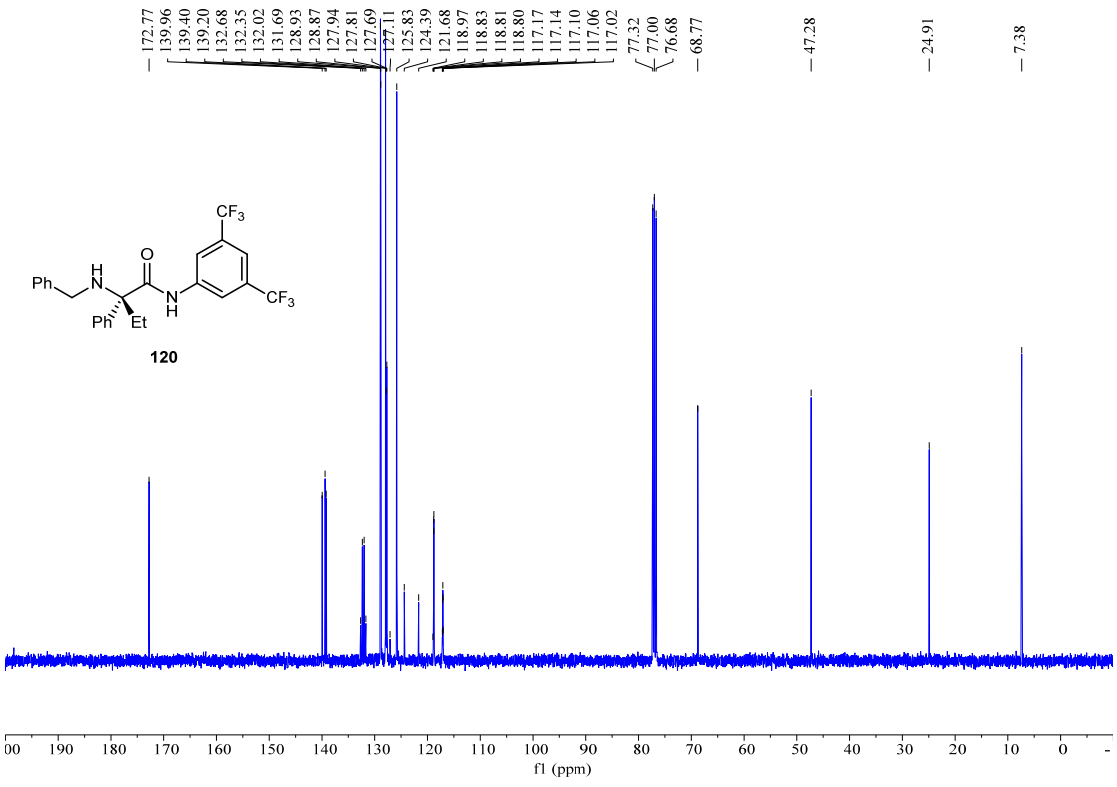
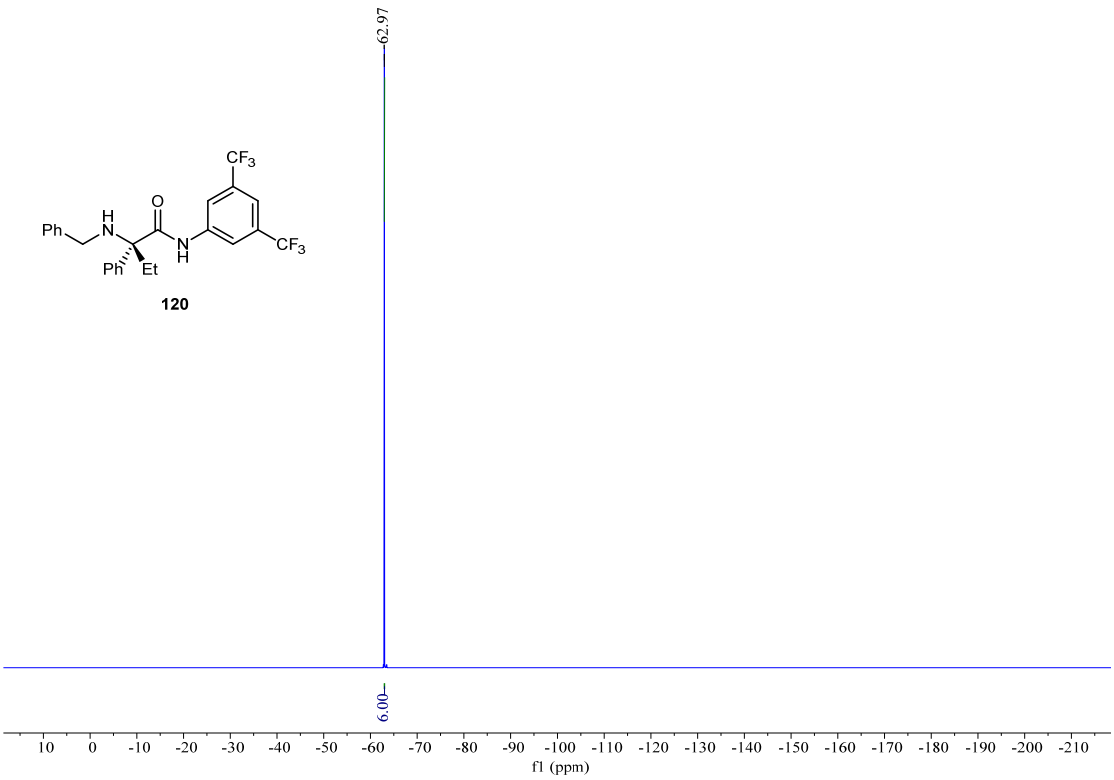
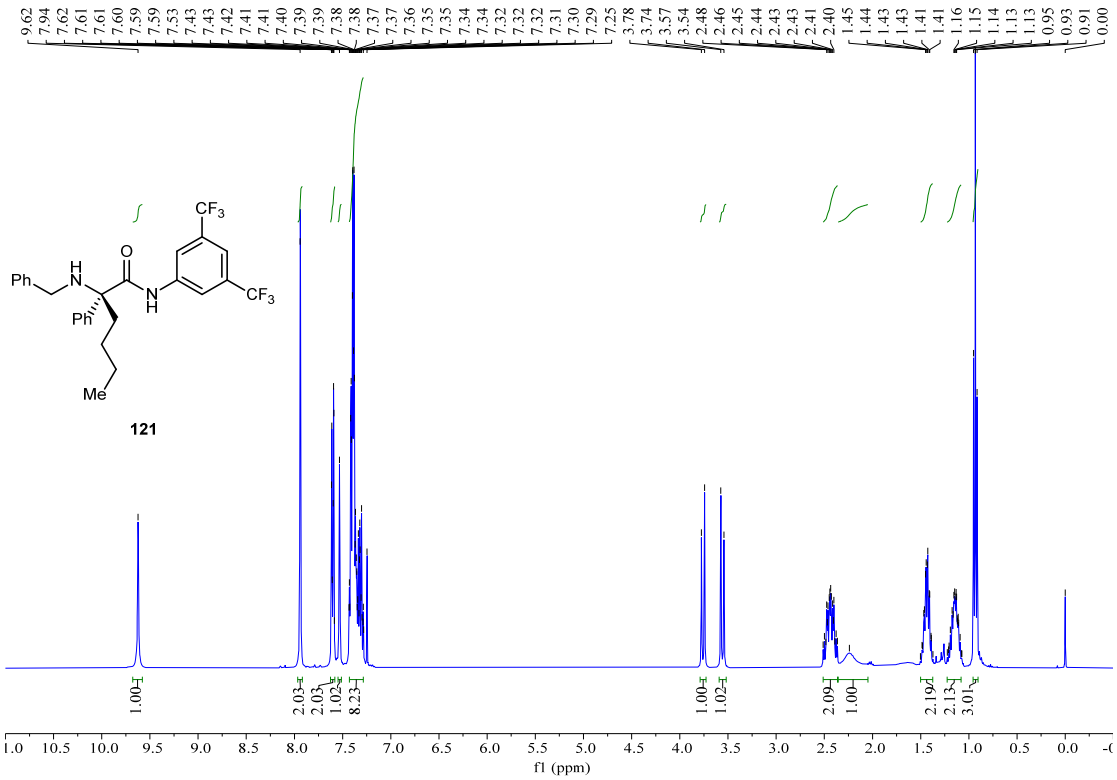
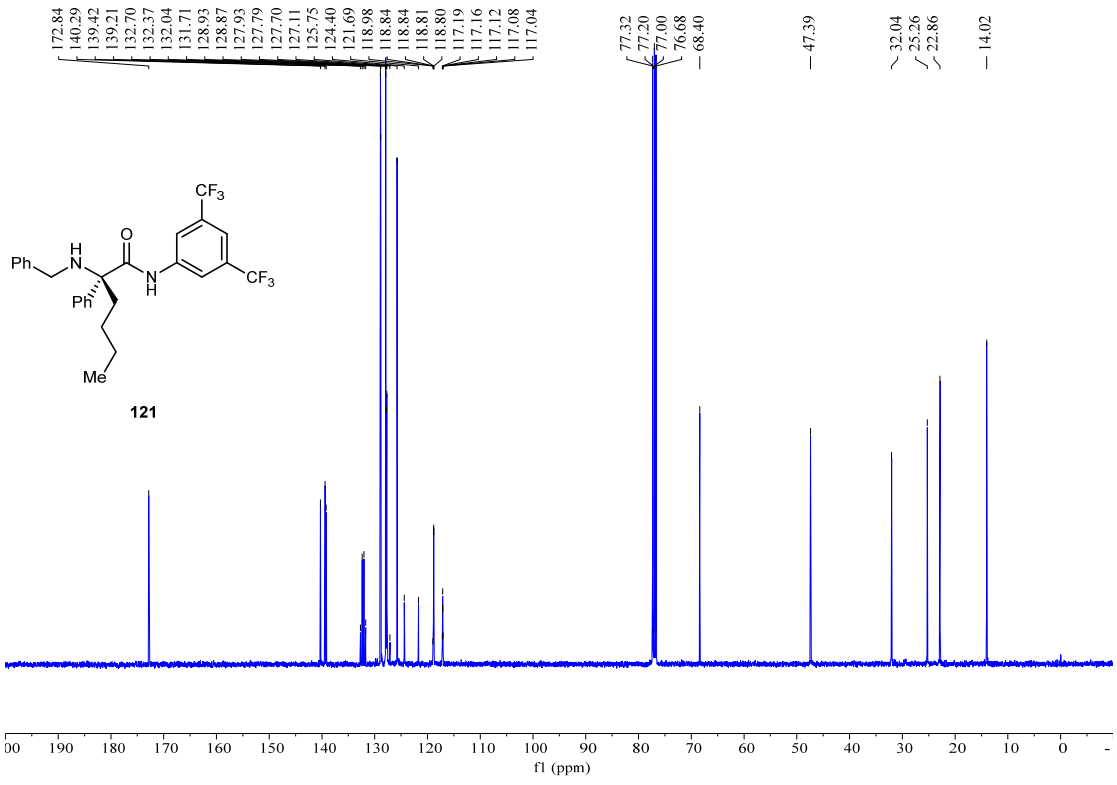
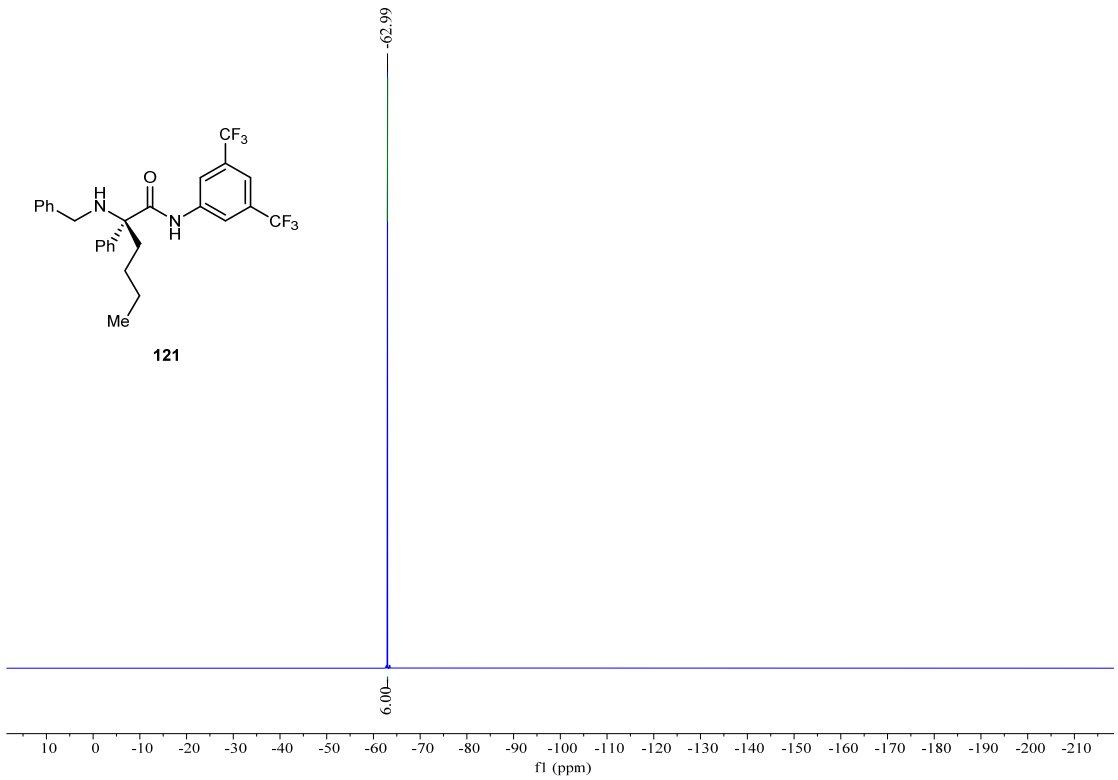
7.94
7.61
7.59
7.53
7.43
7.41
7.41
7.39
7.39
7.38
7.37
7.35
7.35
7.34
7.33
7.32
7.30
7.28
7.24
3.78
3.74
3.57
3.53
2.57
2.55
2.53
2.51
2.50
2.48
2.46
2.44
2.42
2.41
2.25
0.87
0.85
0.83
-0.00
CF3
CF3
Ph
H
N
O
N
H
Ph
Et
120
1.00
2.02
2.04
1.01
6.03
2.07
1.00
1.01
2.10
1.00
3.04
f1 (ppm)

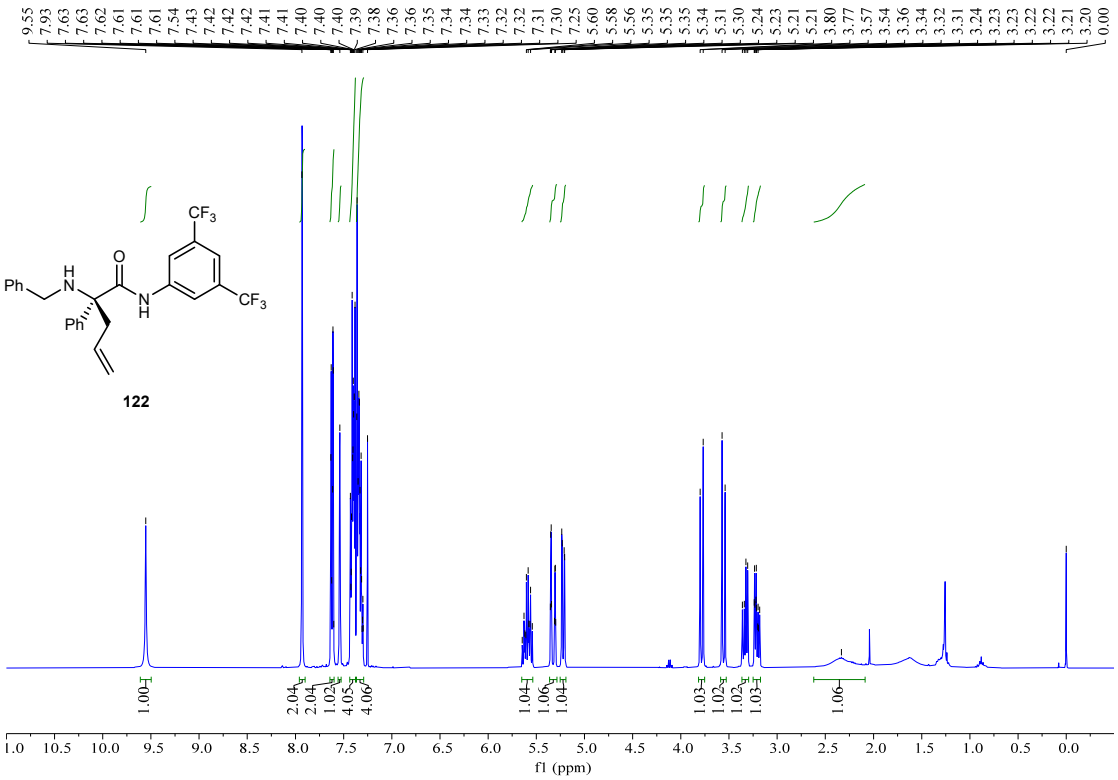

172.77
139.96
139.40
139.20
132.68
132.35
132.02
131.69
128.93
128.87
127.94
127.81
127.69
127.11
125.83
124.39
121.68
118.97
118.83
118.81
118.80
117.17
117.14
117.10
117.06
117.02
77.32
77.00
76.68
68.77
47.28
24.91
7.38
CF3
CF3
Ph
H
N
O
N
H
Ph
Et
120
f1 (ppm)

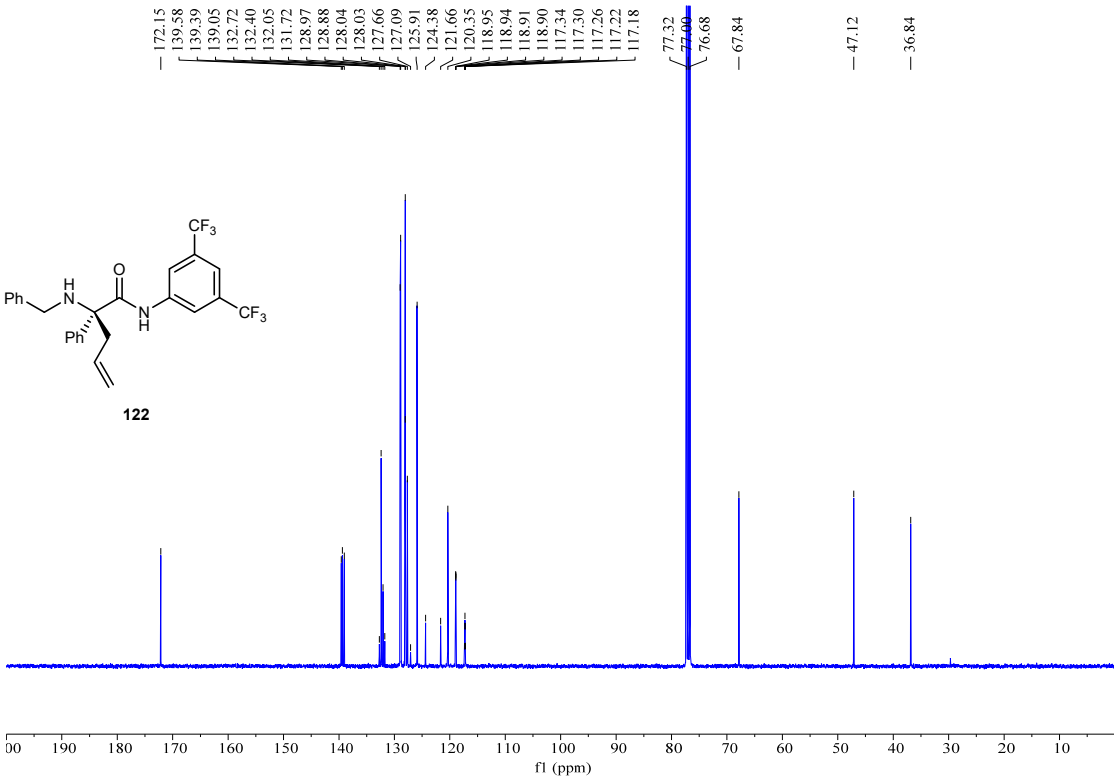

62.97
CF3
O
H
N
Ph
Ph
Et
N
H
CF3
120
6.00
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

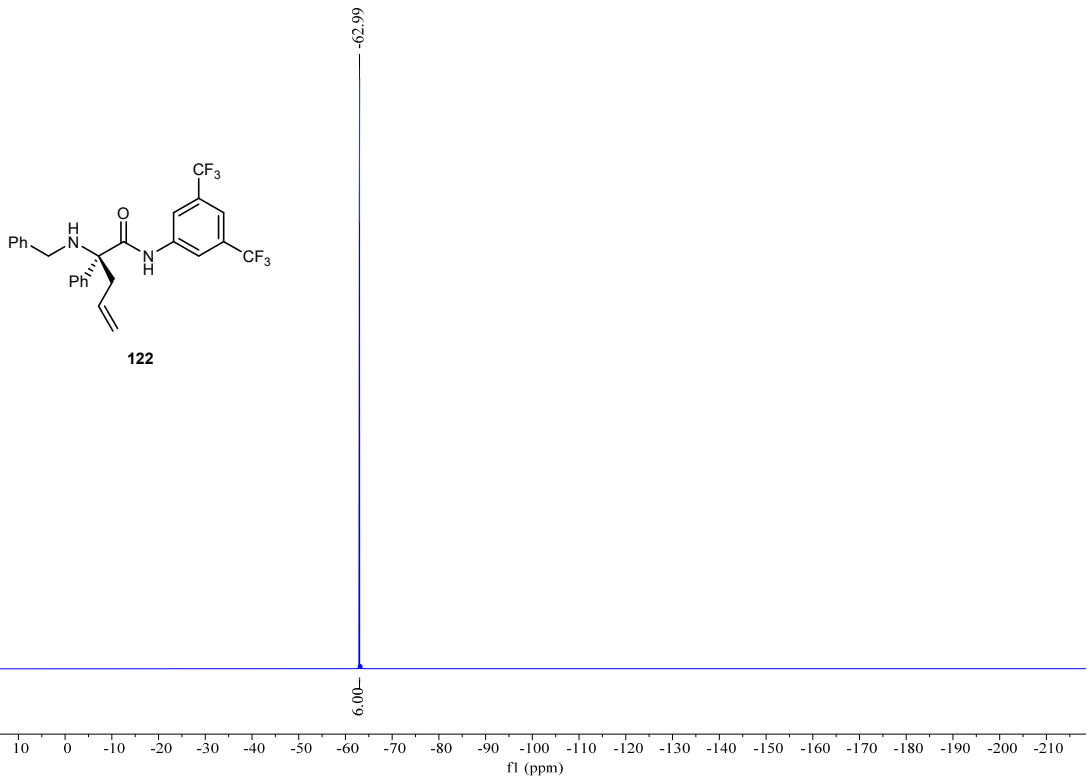

121
fl (ppm)

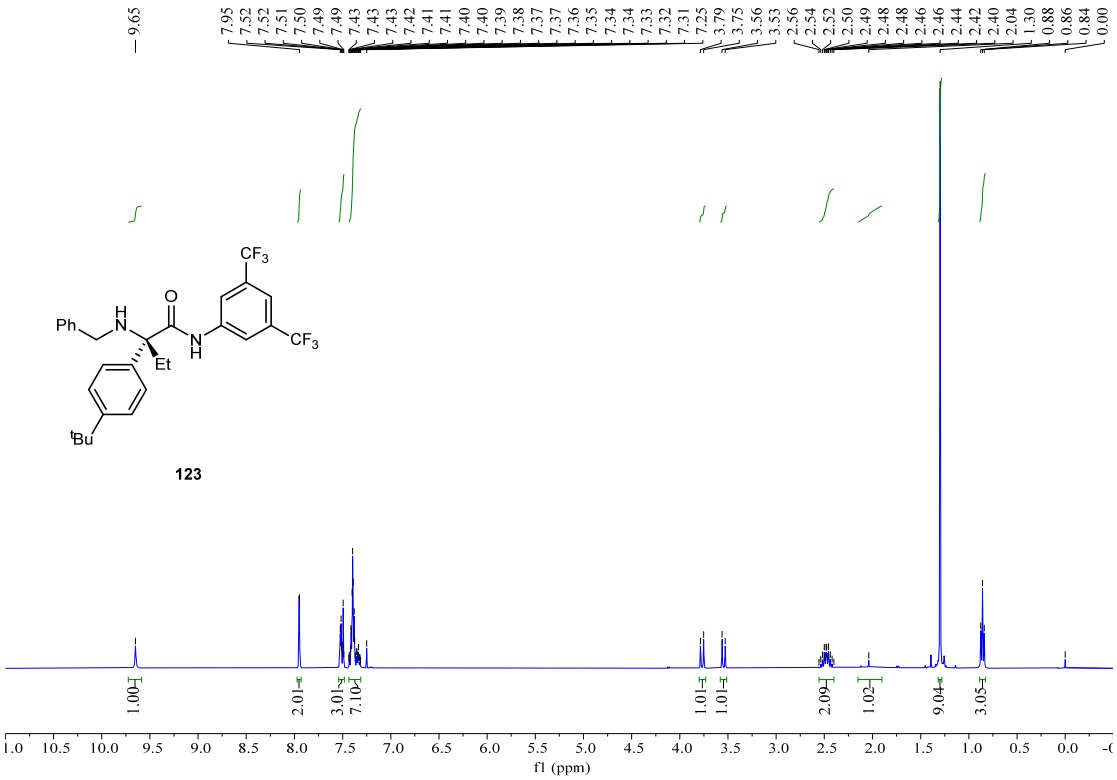

121
fl (ppm)

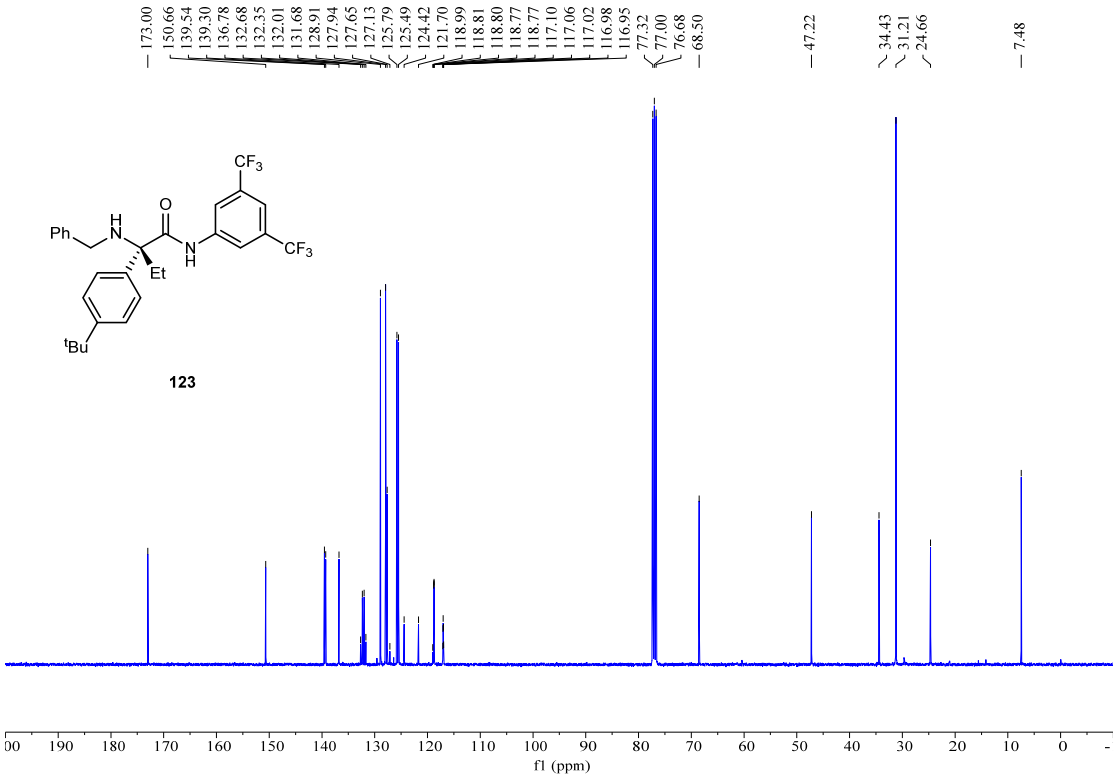

-62.99
CF3
O
H
N
Ph
N
H
CF3
Ph
Me
121
6.00
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

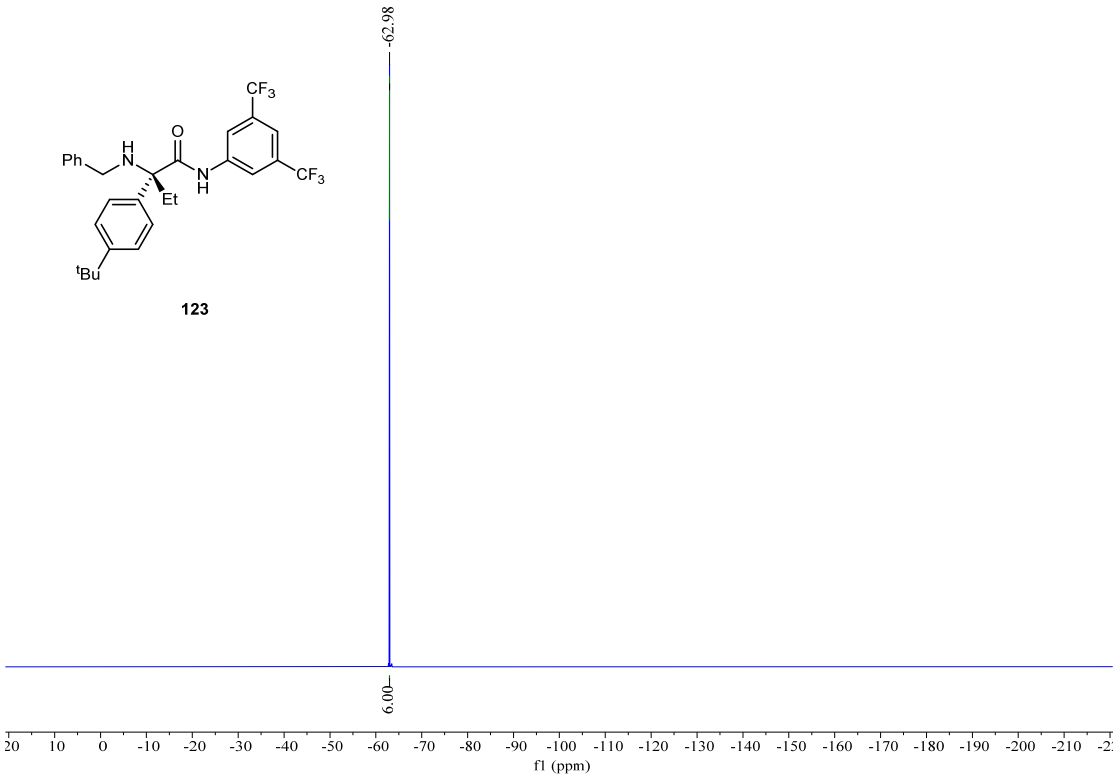

122
fl (ppm)


122
fl (ppm)


-62.99
CF3
O
H
N
Ph
Ph
N
H
CF3
122
6.00
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.65
7.95 7.52 7.52 7.51 7.50 7.49 7.49 7.43 7.43 7.43 7.42 7.41 7.41 7.40 7.40 7.39 7.38 7.37 7.37 7.36 7.35 7.34 7.34 7.33 7.32 7.31 7.25 3.79 3.75 3.56 3.53 2.56 2.54 2.52 2.50 2.49 2.48 2.48 2.46 2.46 2.44 2.42 2.40 2.04 1.30 0.88 0.86 0.84 0.00
CF3
CF3
Ph
N
H
O
N
H
Et
tBu
123
1.00 2.01 3.01 7.10 1.01 1.01 2.09 1.02 9.04 3.05
f1 (ppm)


173.00
150.66 139.54 139.30 136.78 132.68 132.35 132.01 131.68 128.91 127.94 127.65 127.13 125.79 125.49 124.42 121.70 118.99 118.81 118.80 118.77 118.77 117.10 117.06 117.02 116.98 116.95 77.32 77.00 76.68 68.50
47.22
34.43 31.21 24.66
7.48
CF3
CF3
Ph
N
H
O
N
H
Et
tBu
123
f1 (ppm)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H
N
Ph
Et
N
H
CF3
tBu
123
6.00
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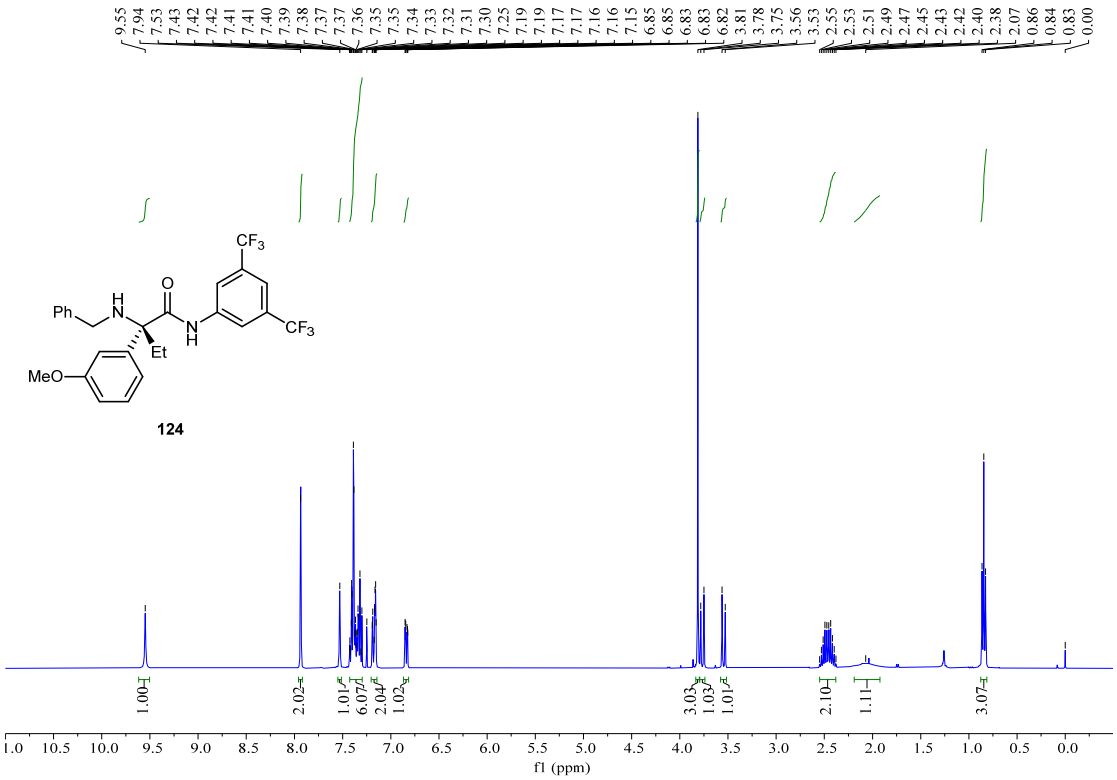
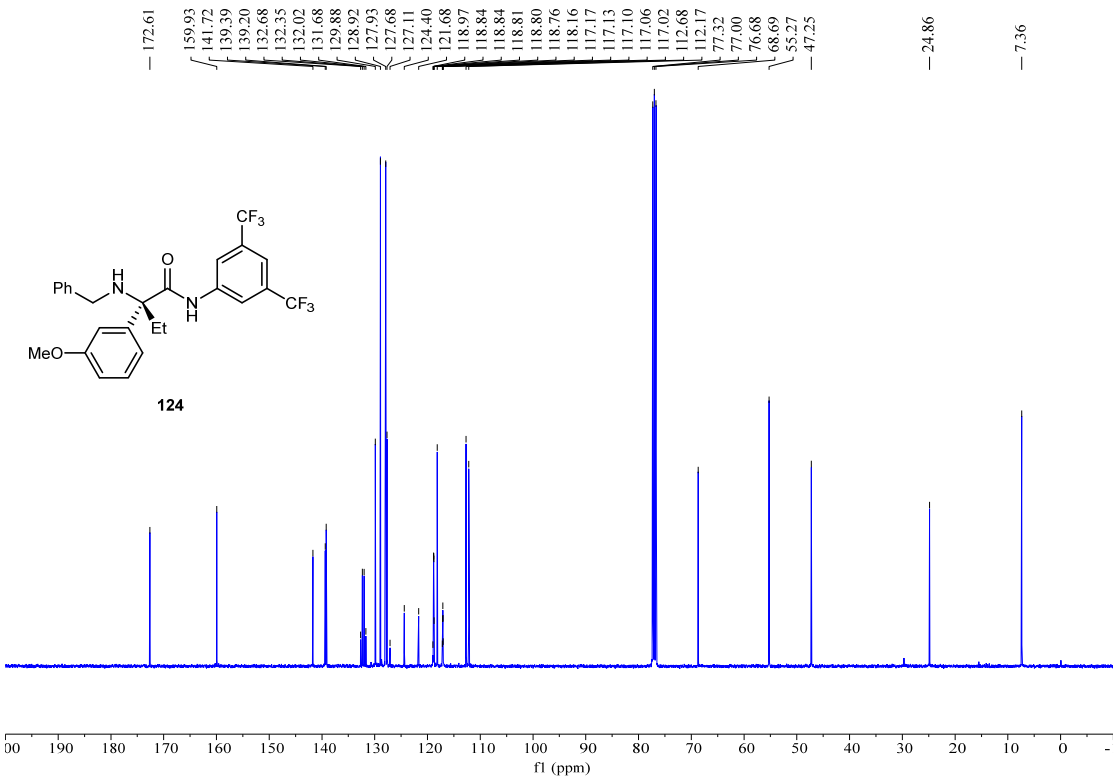
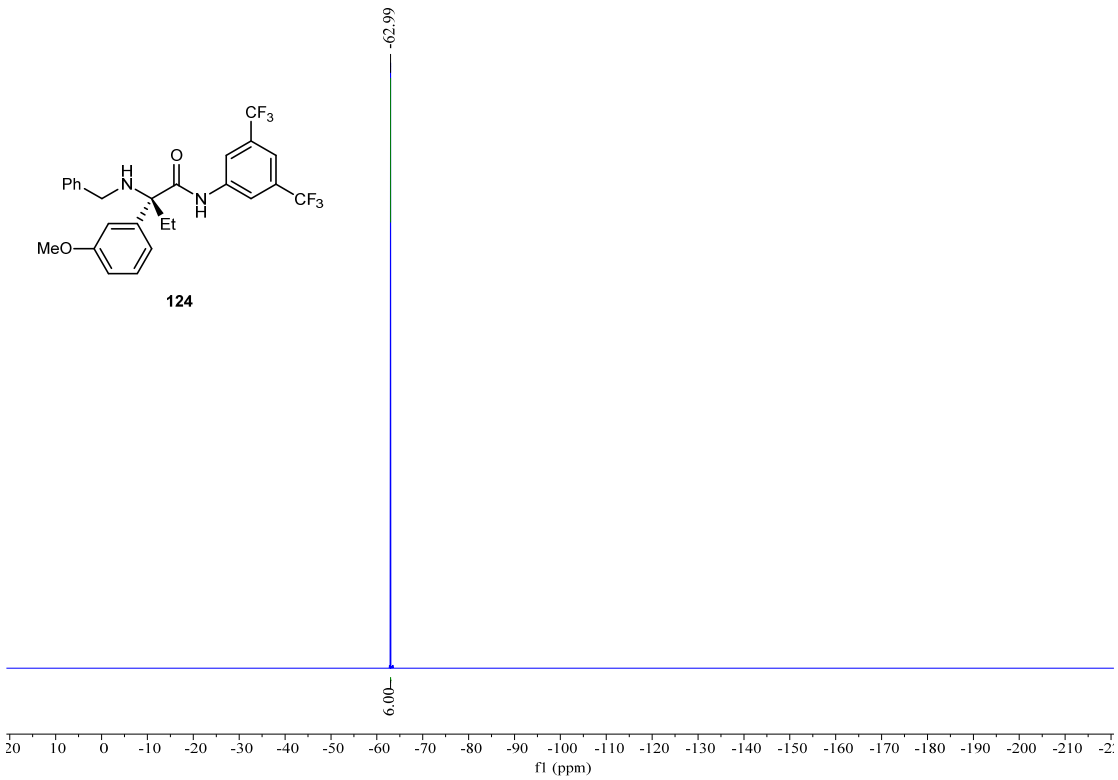
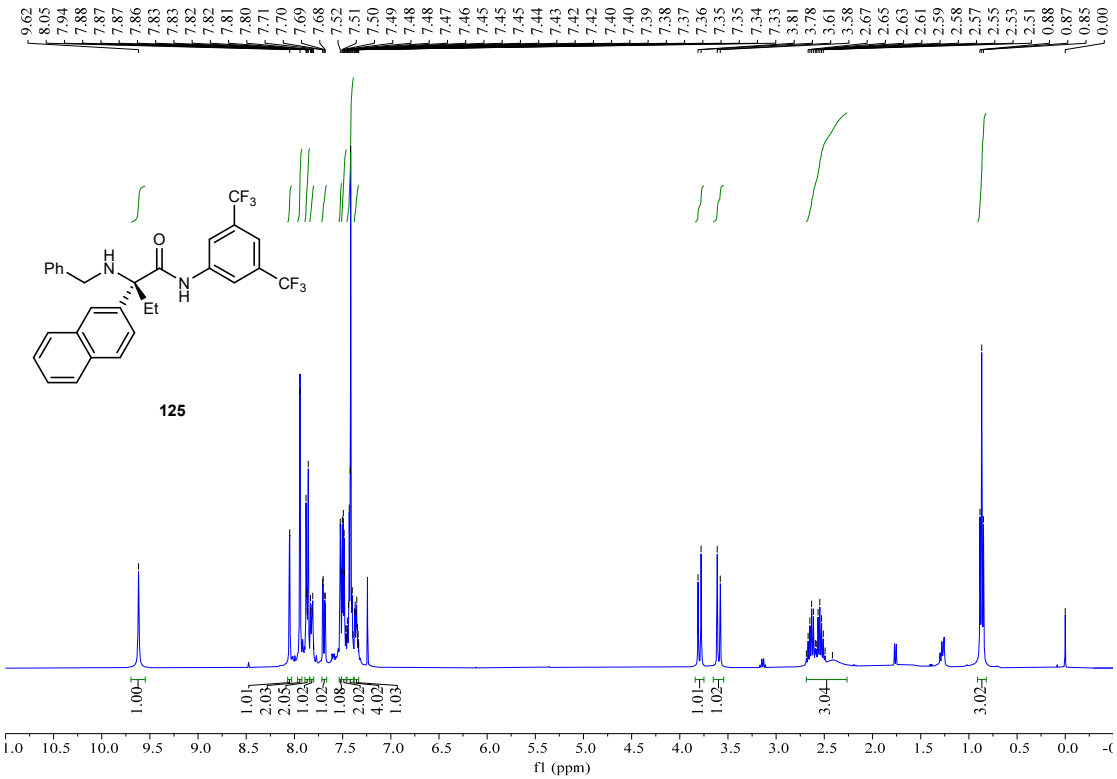
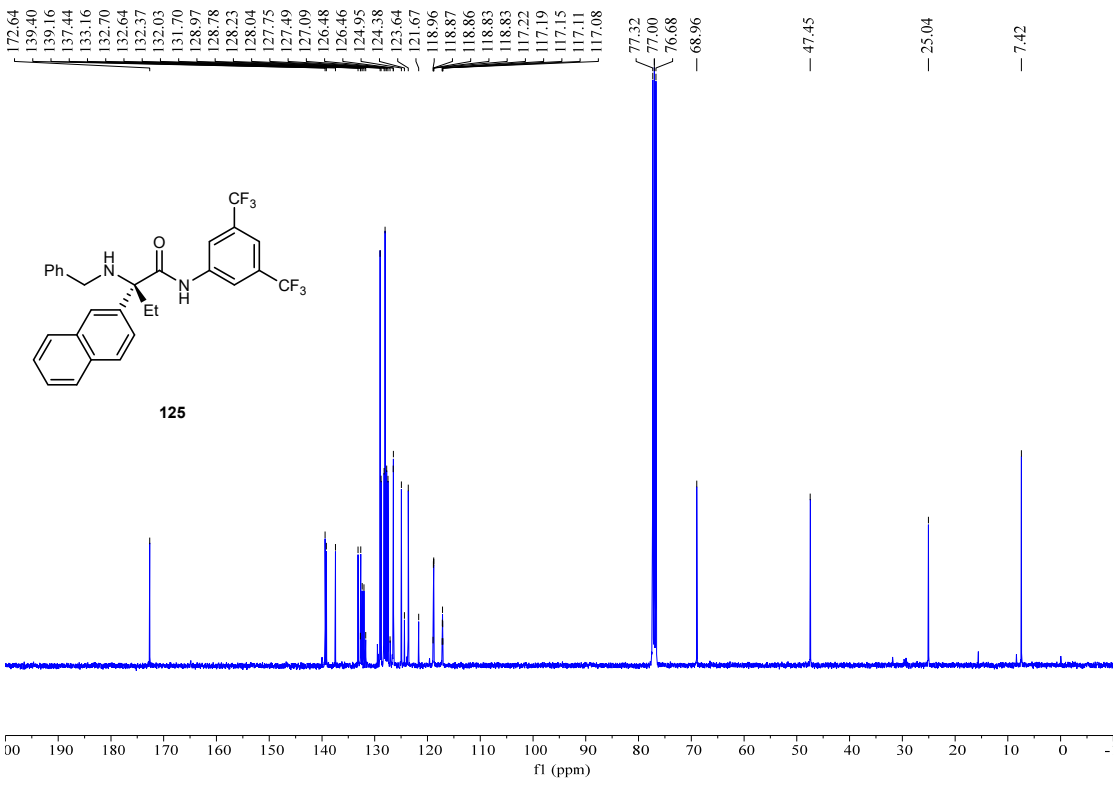
f1 (ppm)

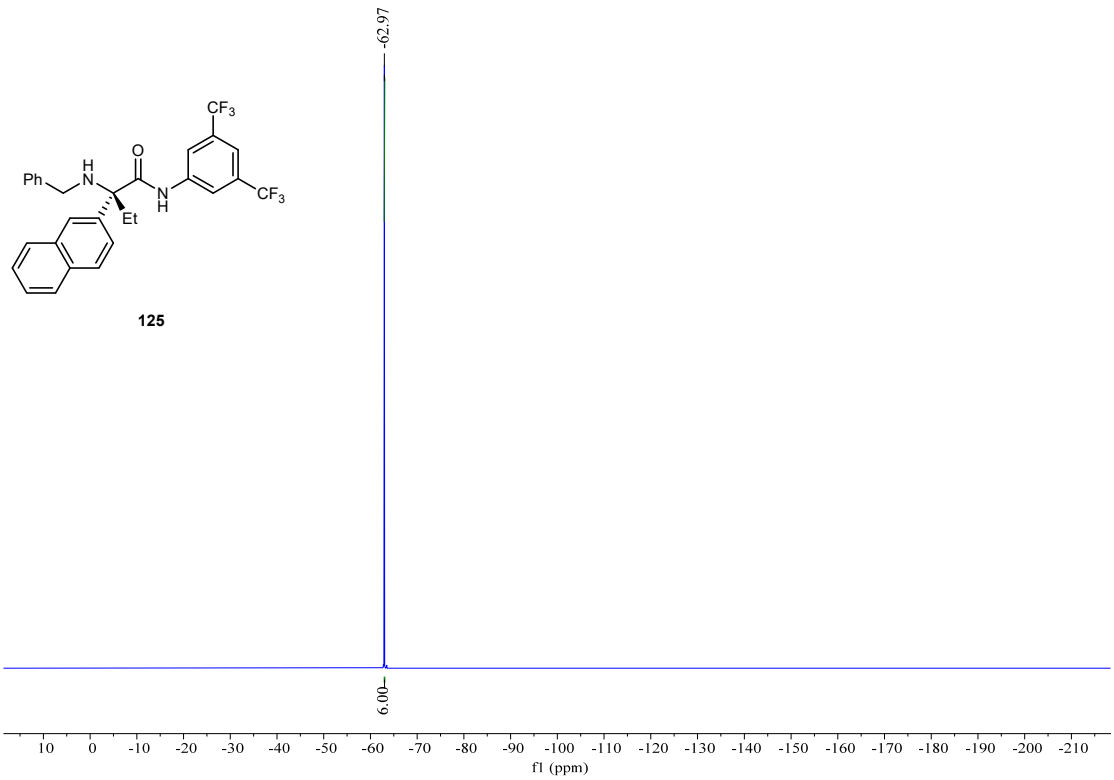

124
fl (ppm)


124
fl (ppm)


-62.99
CF3
O
H
N
Ph
N
H
CF3
Et
MeO
124
6.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.62 8.05 7.94 7.88 7.87 7.87 7.86 7.83 7.83 7.82 7.82 7.81 7.80 7.71 7.70 7.69 7.68 7.52 7.51 7.50 7.49 7.48 7.48 7.47 7.46 7.45 7.45 7.45 7.44 7.43 7.42 7.42 7.40 7.40 7.39 7.38 7.37 7.36 7.35 7.35 7.34 7.33 3.81 3.78 3.61 3.58 2.67 2.65 2.63 2.61 2.59 2.58 2.57 2.55 2.53 2.51 0.88 0.87 0.85 0.00
CF3
O
Ph
N
H
N
H
Et
CF3
125
1.00 1.01 2.03 2.05 1.02 1.02 1.08 2.02 4.02 1.03 1.01 1.02 3.04 3.02
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.64 139.40 139.16 137.44 133.16 132.70 132.64 132.37 132.03 131.70 128.97 128.78 128.23 128.04 127.75 127.49 127.09 126.48 126.46 124.95 124.38 123.64 121.67 118.96 118.87 118.86 118.83 118.83 117.22 117.19 117.15 117.11 117.08 77.32 77.00 76.68 68.96 47.45 25.04 7.42
CF3
O
Ph
N
H
N
H
Et
CF3
125
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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CF3
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H
N
O
N
H
CF3
Et
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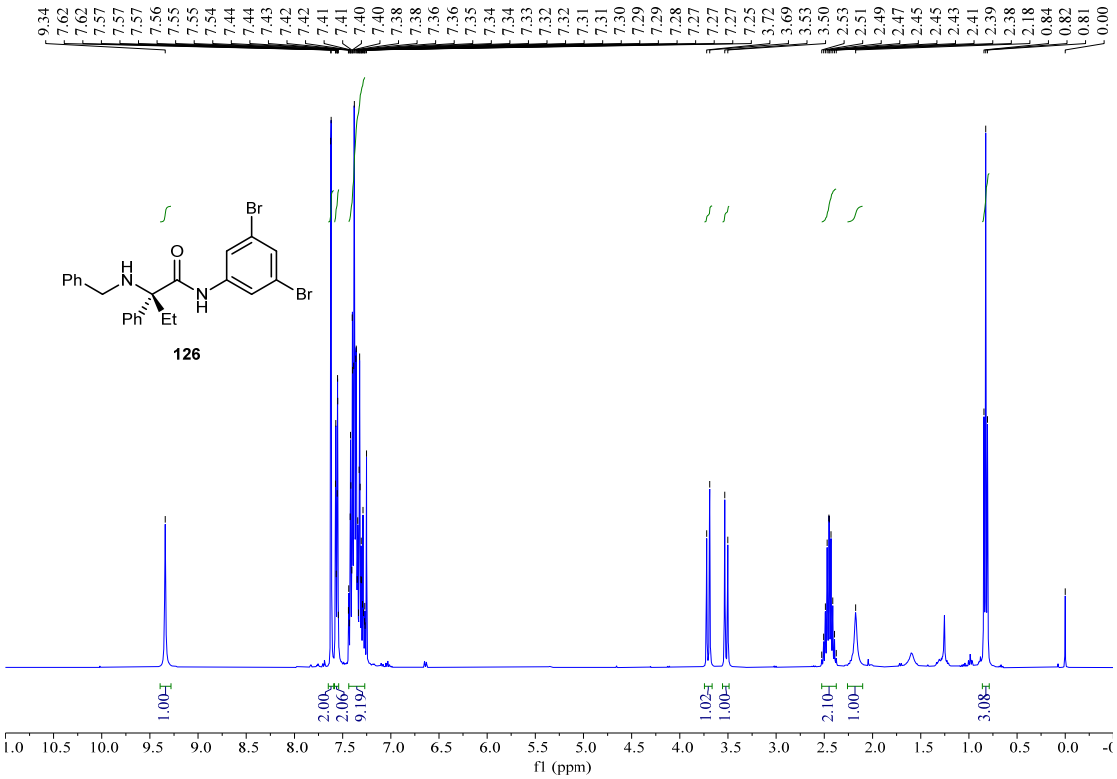
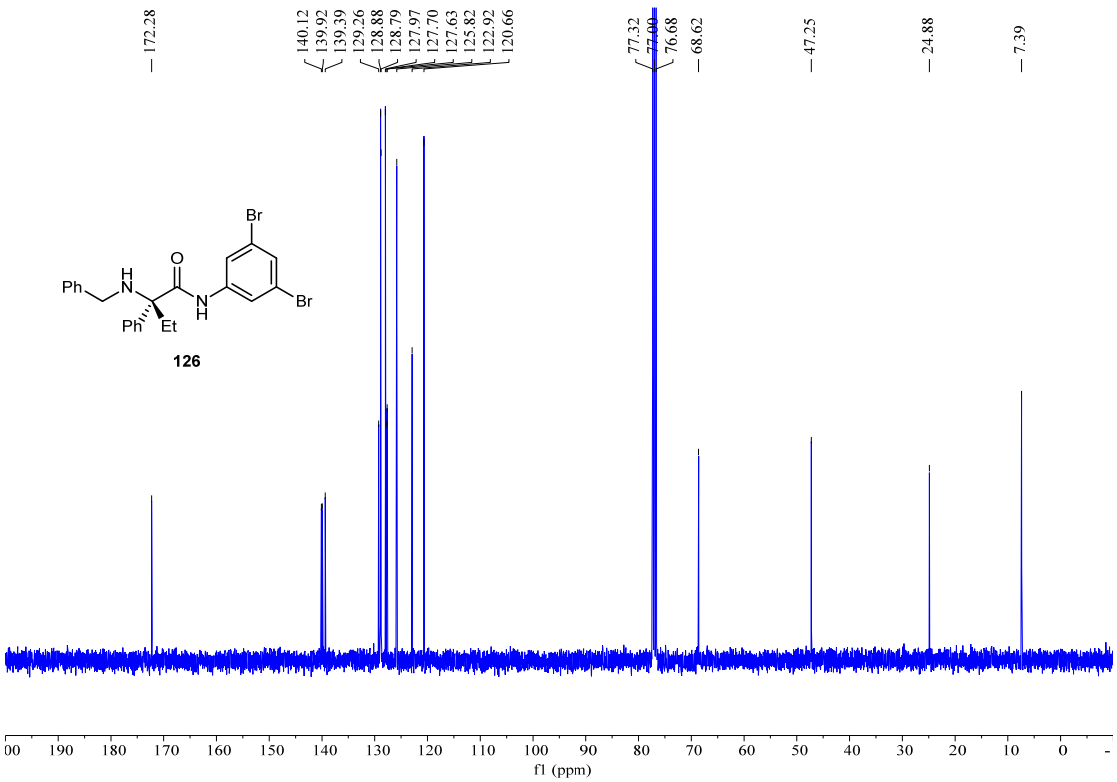
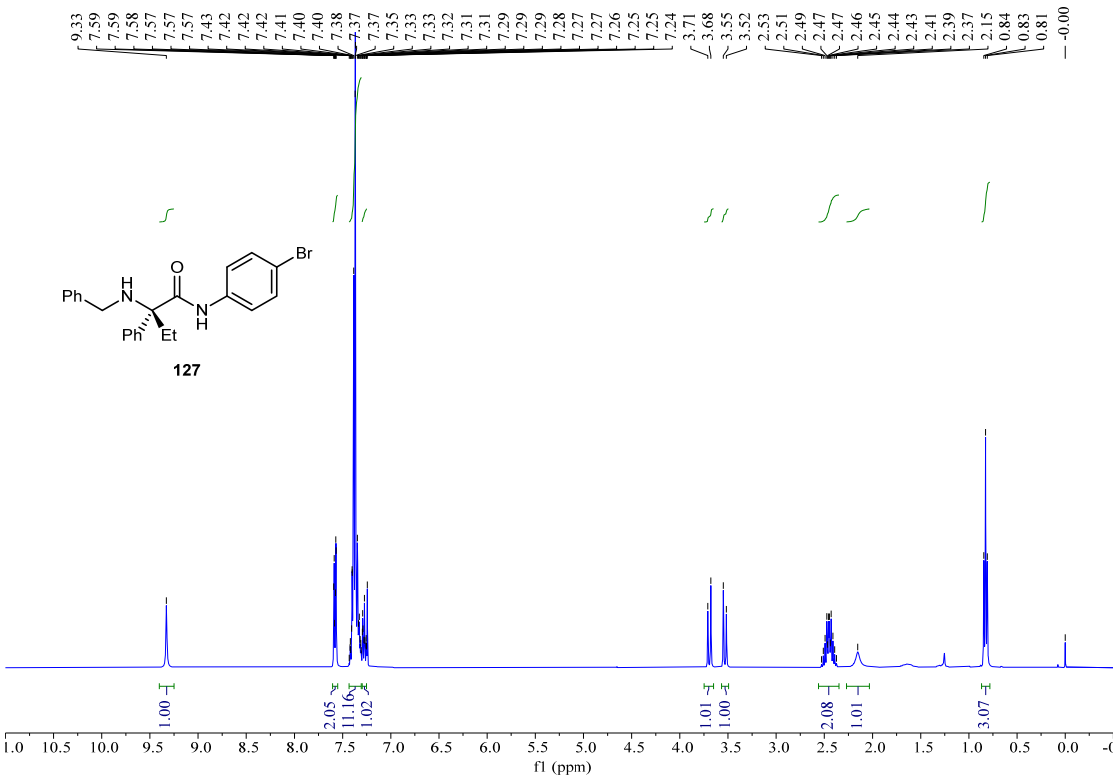
6.00
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.34 7.62 7.62 7.57 7.57 7.57 7.56 7.55 7.55 7.54 7.44 7.44 7.43 7.42 7.42 7.41 7.41 7.40 7.40 7.38 7.38 7.36 7.36 7.35 7.34 7.34 7.33 7.32 7.32 7.31 7.31 7.30 7.29 7.29 7.28 7.27 7.27 7.27 7.25 3.72 3.69 3.53 3.50 2.53 2.51 2.49 2.47 2.45 2.45 2.43 2.41 2.39 2.38 2.18 0.84 0.82 0.81 0.00
Br
O
H
N
Ph
N
H
Br
Ph
Et
126
1.00 2.00 2.06 9.19 1.02 1.00 2.10 1.00 3.08
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.28
140.12 139.92 139.39 129.26 128.88 128.79 127.97 127.70 127.63 125.82 122.92 120.66
77.32 77.00 76.68
68.62
47.25
24.88
7.39
Br
O
H
N
Ph
N
H
Br
Ph
Et
126
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.33
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7.24
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3.68
3.55
3.52
2.53
2.51
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2.47
2.47
2.46
2.45
2.44
2.43
2.41
2.39
2.37
2.15
0.84
0.83
0.81
-0.00
Br
O
H
N
N
H
Ph
Ph
Et
127
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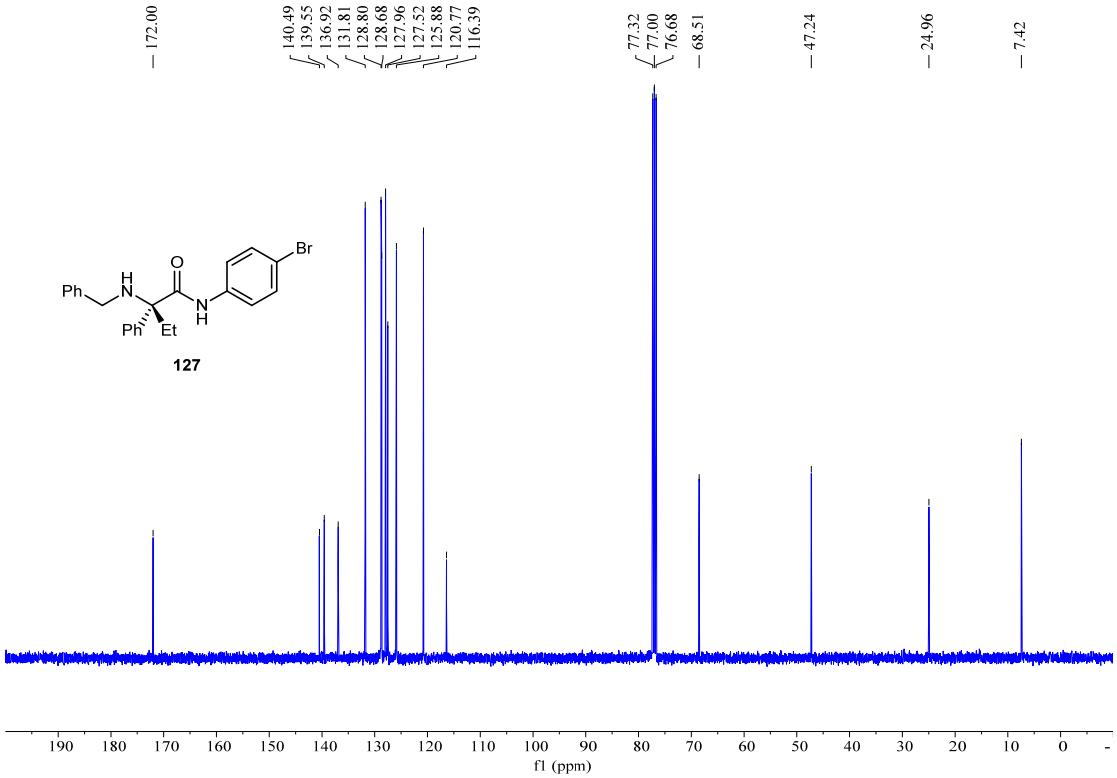
2.05
11.16
1.02
1.01
1.00
2.08
1.01
3.07
f1 (ppm)


172.00
140.49
139.55
136.92
131.81
128.80
128.68
127.96
127.52
125.88
120.77
116.39
77.32
77.00
76.68
68.51
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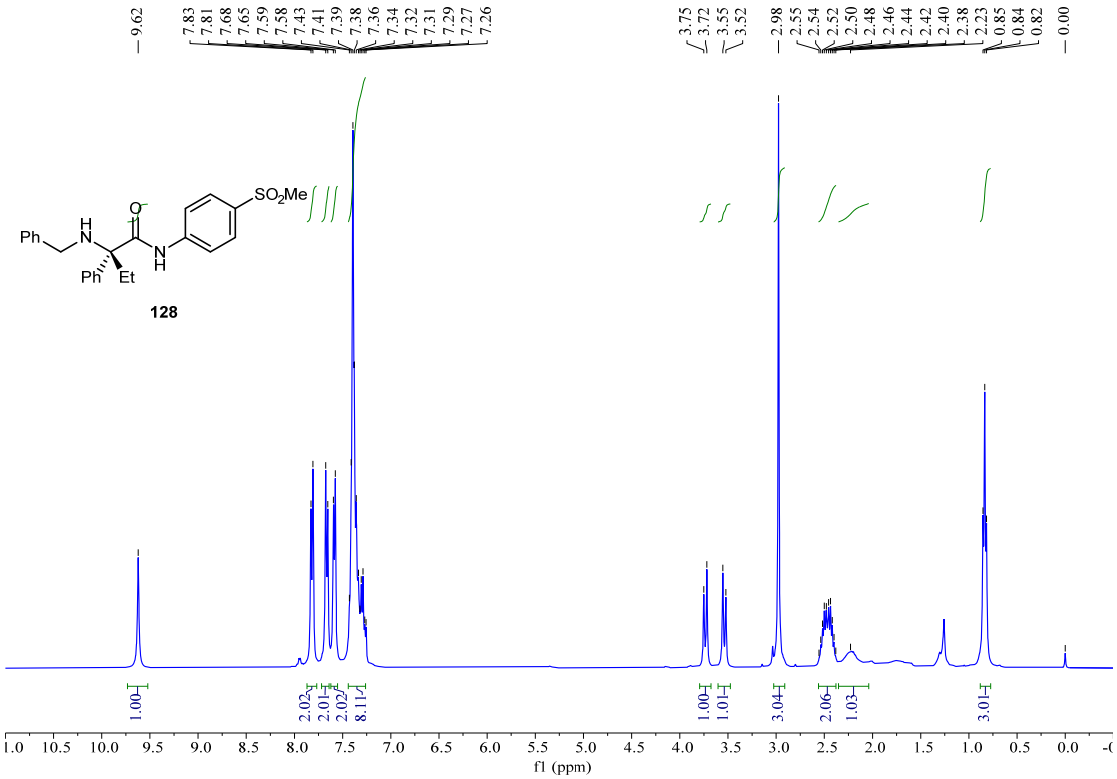
24.96
7.42
Br
O
H
N
N
H
Ph
Ph
Et
127
f1 (ppm)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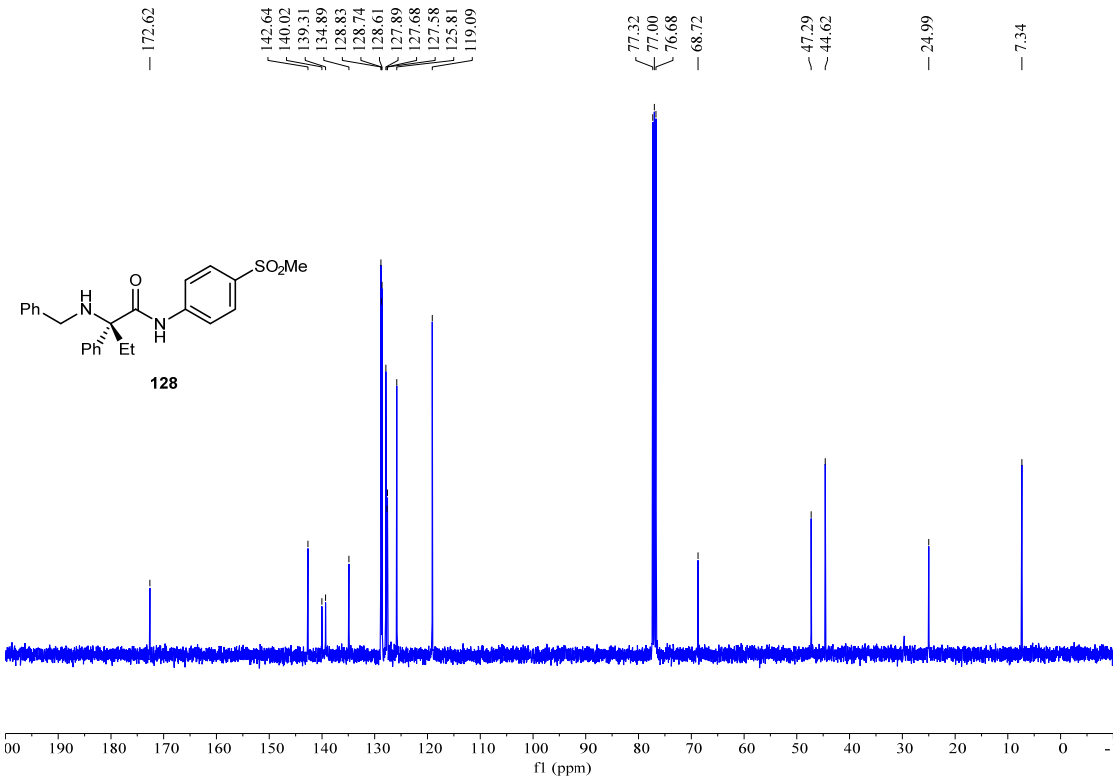
7.83
7.81
7.68
7.65
7.59
7.58
7.43
7.41
7.39
7.38
7.36
7.34
7.32
7.31
7.29
7.27
7.26
3.75
3.72
3.55
3.52
2.98
2.55
2.54
2.52
2.50
2.48
2.46
2.44
2.42
2.40
2.38
2.23
0.85
0.84
0.82
0.00
SO2Me
Ph
N
H
O
N
H
Ph
Et
128
1.00
2.02
2.01
2.02
8.11
1.00
1.01
3.04
2.06
1.03
3.01
f1 (ppm)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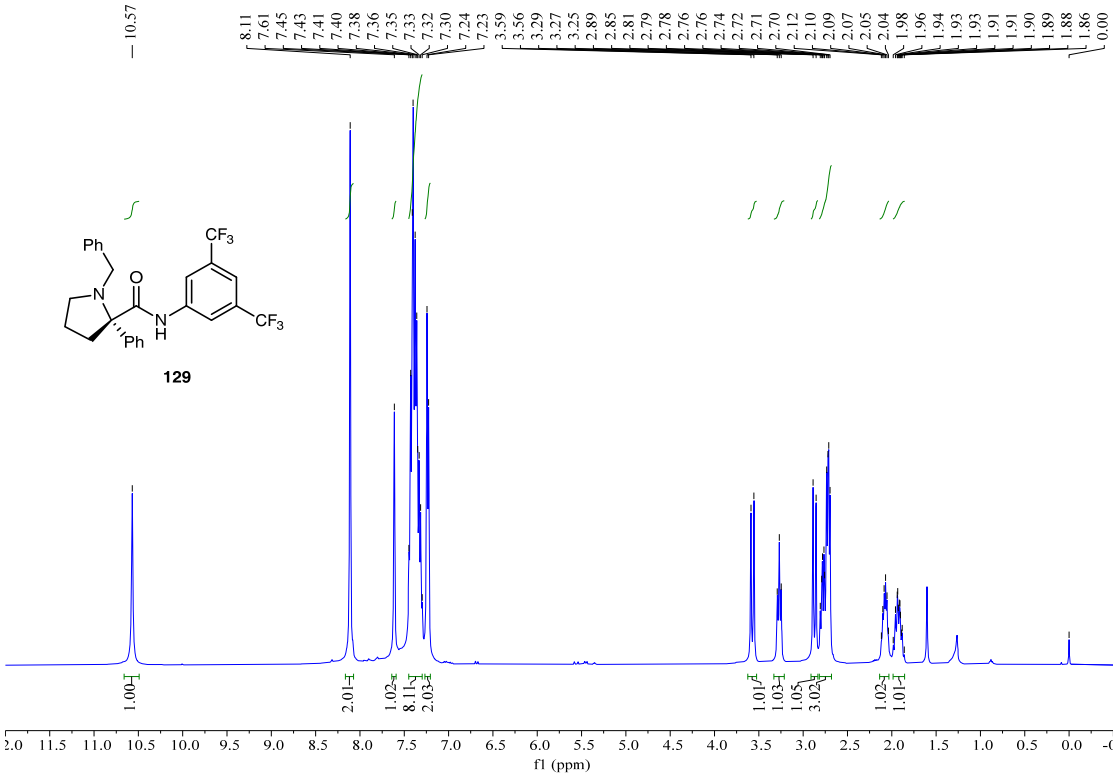
142.64
140.02
139.31
134.89
128.83
128.74
128.61
127.89
127.68
127.58
125.81
119.09
77.32
77.00
76.68
68.72
47.29
44.62
24.99
7.34
SO2Me
Ph
N
H
O
N
H
Ph
Et
128
f1 (ppm)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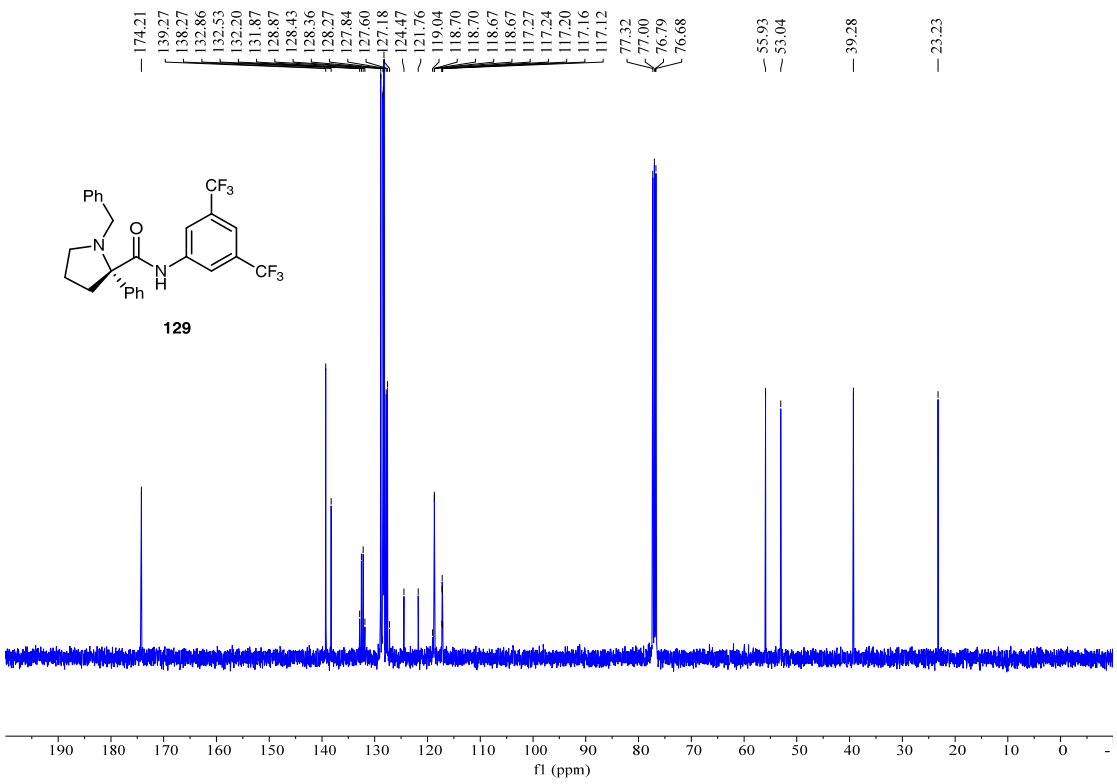
8.11
7.61
7.45
7.43
7.41
7.40
7.38
7.36
7.35
7.33
7.32
7.30
7.24
7.23
3.59
3.56
3.29
3.27
3.25
2.89
2.85
2.81
2.79
2.78
2.76
2.76
2.74
2.72
2.71
2.70
2.12
2.10
2.09
2.07
2.05
2.04
1.98
1.96
1.94
1.93
1.93
1.91
1.91
1.90
1.89
1.88
1.86
0.00
Ph
N
O
N
H
Ph
CF3
CF3
129
1.00
2.01
1.02
8.11
2.03
1.01
1.03
1.05
3.02
1.02
1.01
f1 (ppm)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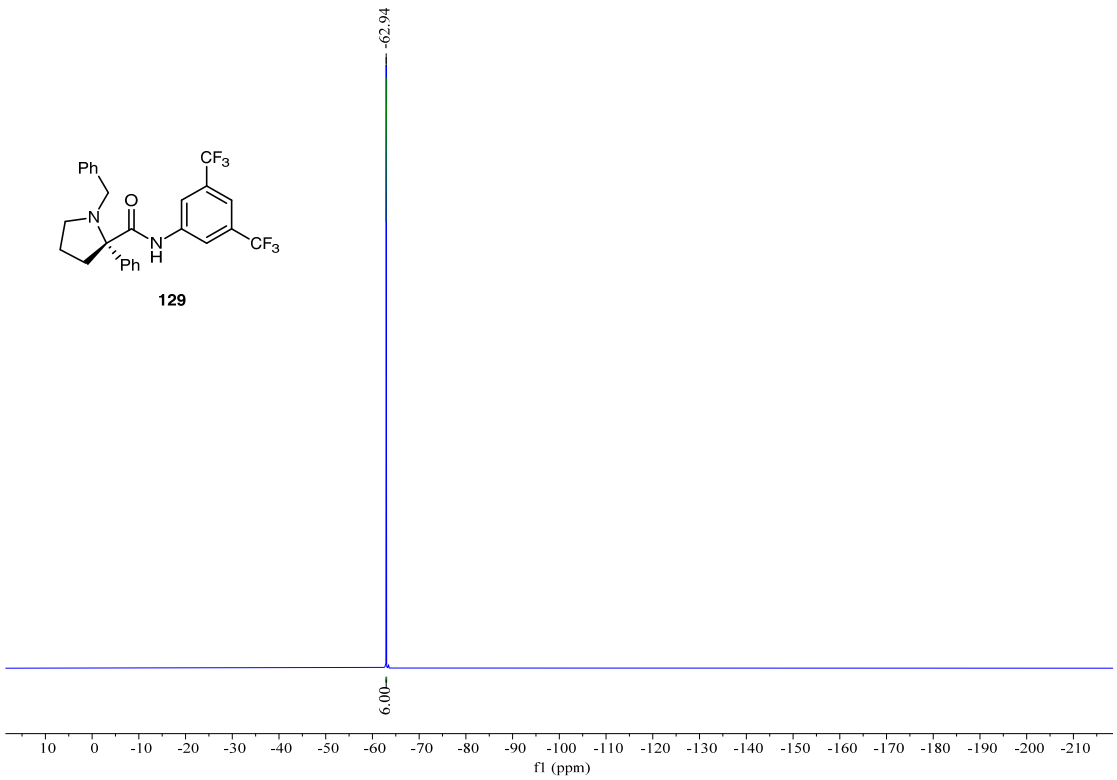
128.27
127.84
127.60
127.18
124.47
121.76
119.04
118.70
118.70
118.67
118.67
117.27
117.24
117.20
117.16
117.12
77.32
77.00
76.79
76.68
55.93
53.04
39.28
23.23
Ph
N
O
N
H
Ph
CF3
CF3
129
f1 (ppm)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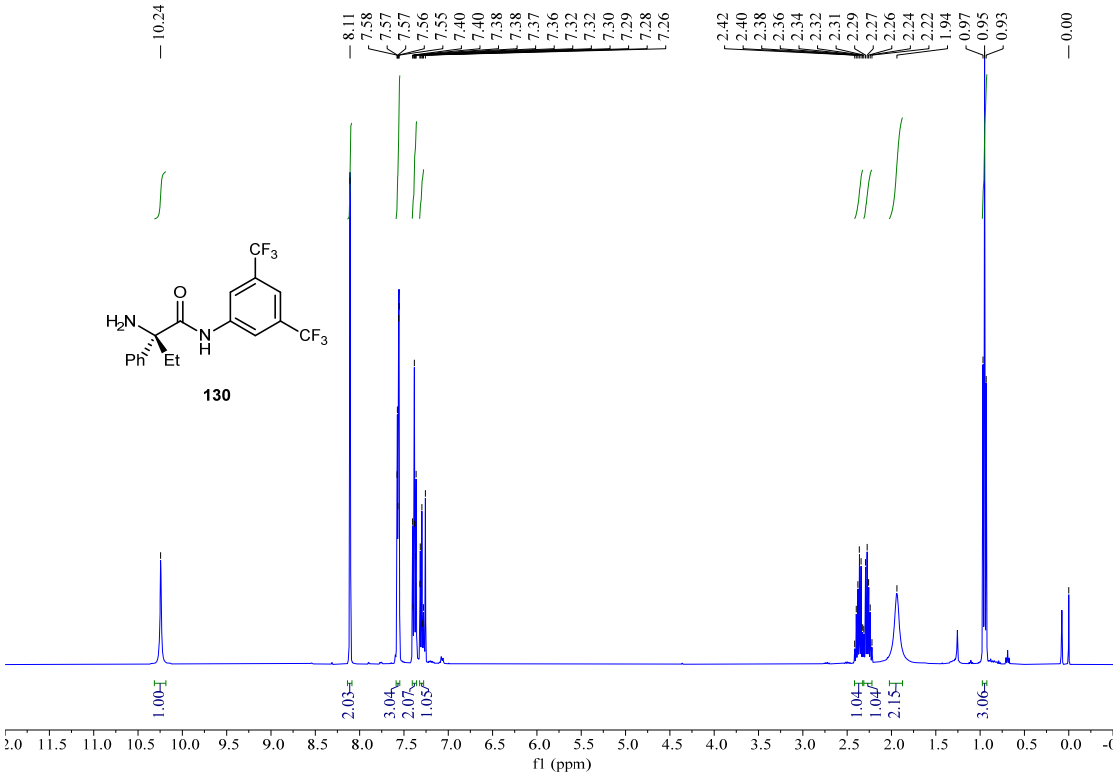
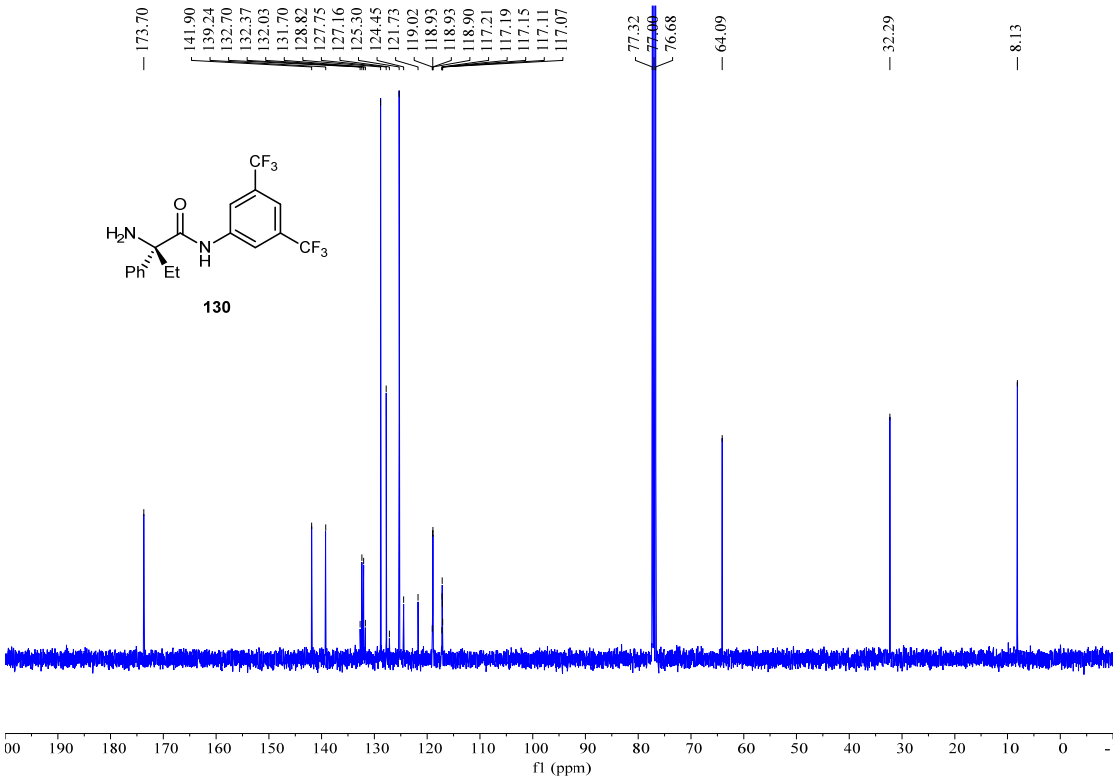
Ph
O
N
CF3
N
H
CF3
Ph
129
6.00
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


10.24
8.11
7.58
7.57
7.57
7.56
7.55
7.40
7.40
7.38
7.38
7.37
7.36
7.32
7.32
7.30
7.29
7.28
7.26
2.42
2.40
2.38
2.36
2.34
2.32
2.31
2.29
2.27
2.26
2.24
2.22
1.94
0.97
0.95
0.93
0.00
CF3
O
H2N
N
H
CF3
Ph
Et
130
1.00
2.03
3.04
2.07
1.05
1.04
1.04
2.15
3.06
f1 (ppm)


173.70
141.90
139.24
132.70
132.37
132.03
131.70
128.82
127.75
127.16
125.30
124.45
121.73
119.02
118.93
118.93
118.90
117.21
117.19
117.15
117.11
117.07
77.32
77.00
76.68
64.09
32.29
8.13
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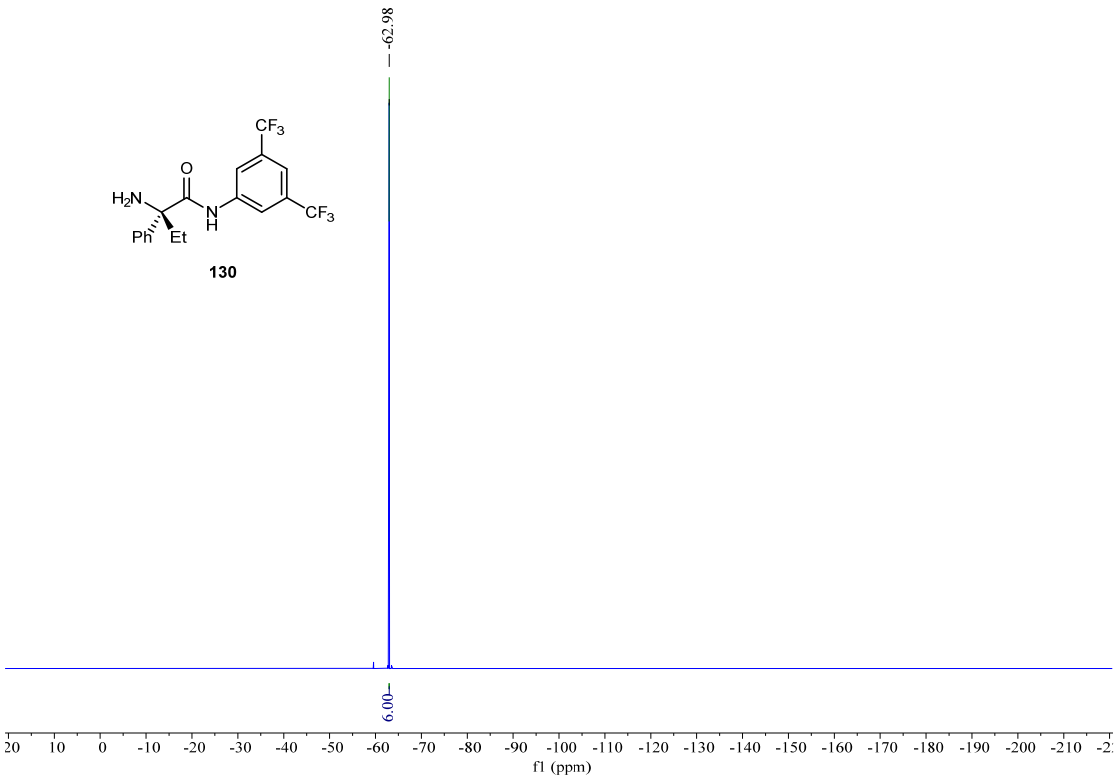
H2N
N
H
CF3
Ph
Et
130
f1 (ppm)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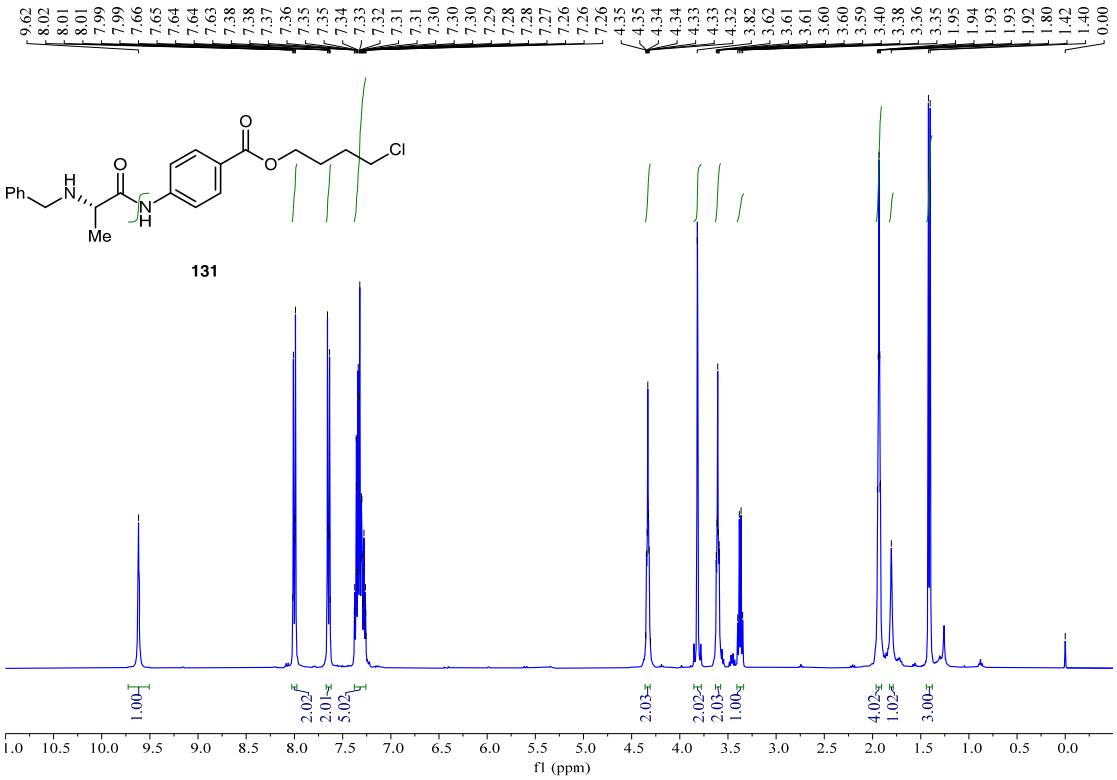
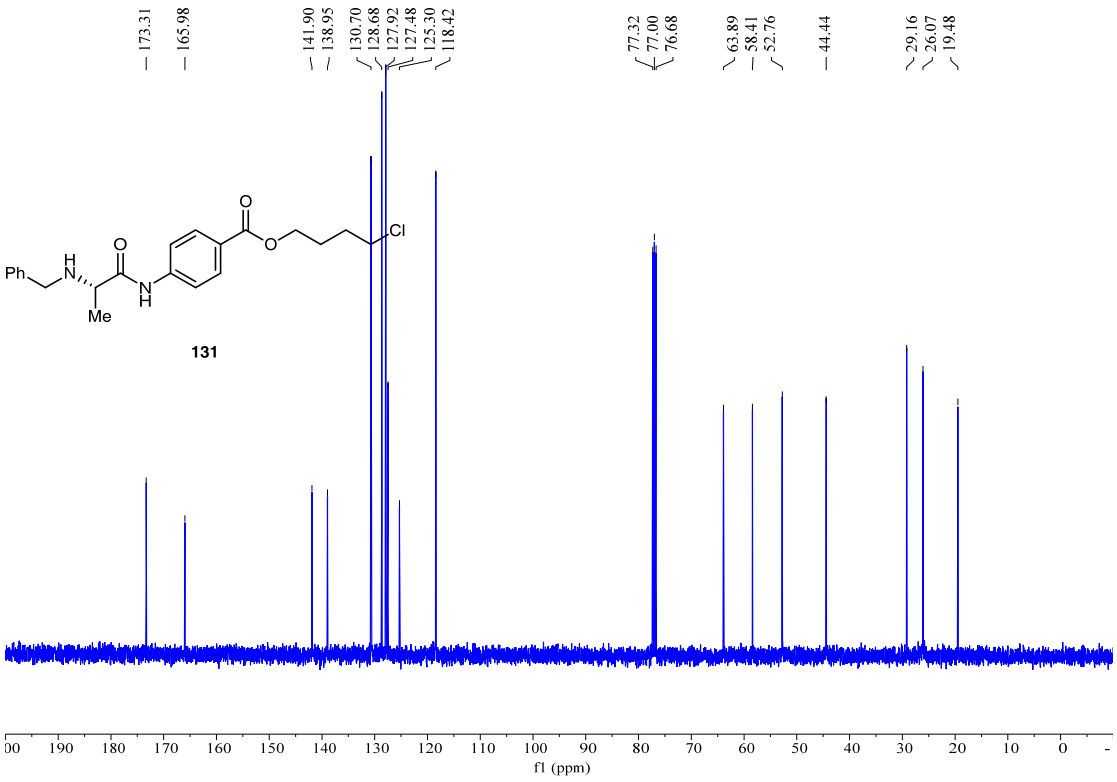
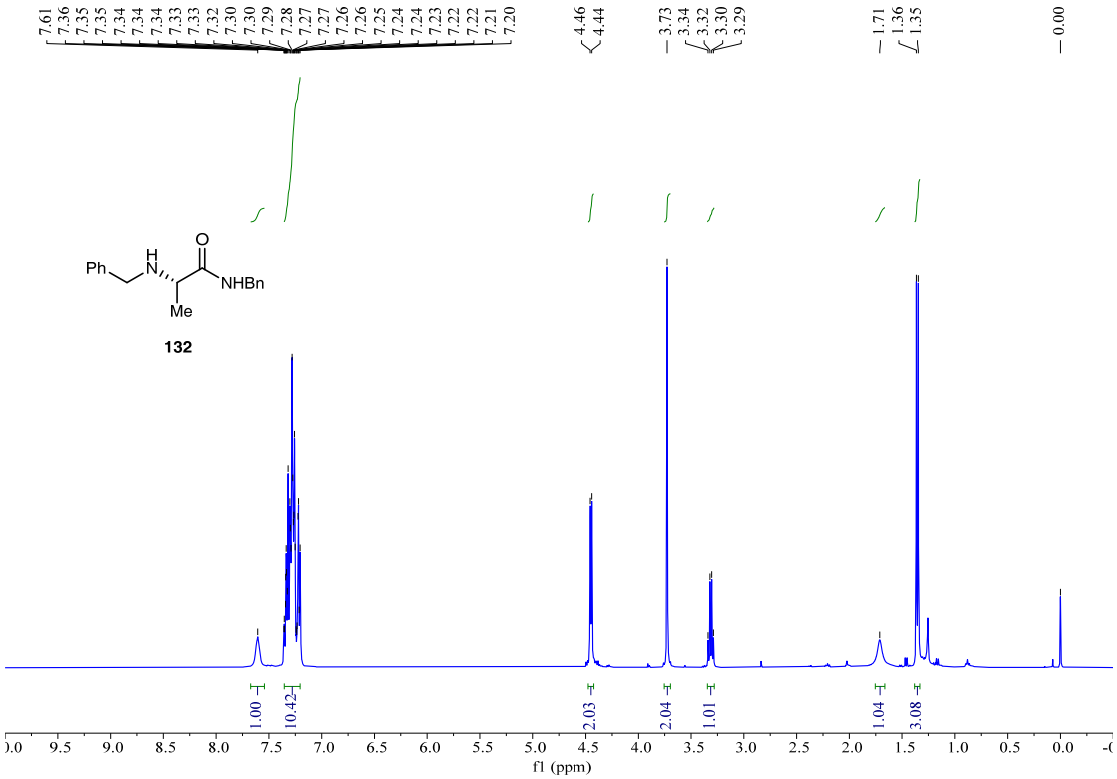
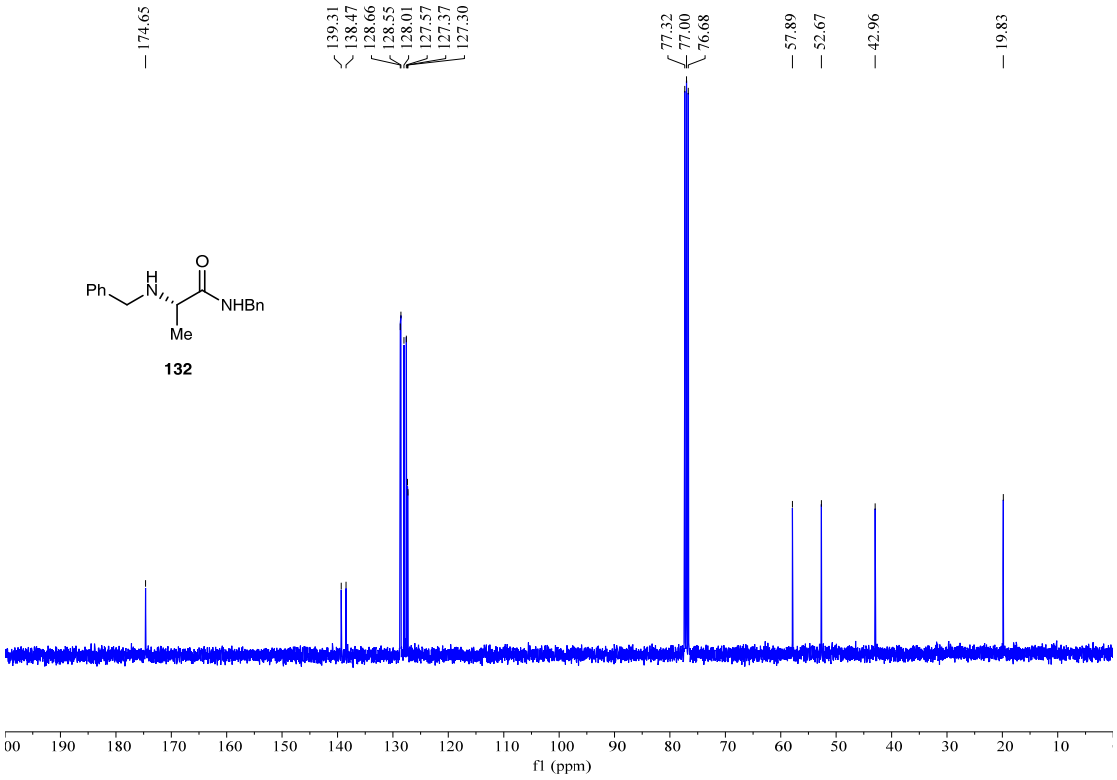
CF3
O
H2N
N
H
CF3
Ph
Et
130
6.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.62 8.02 8.01 8.01 7.99 7.99 7.66 7.65 7.64 7.64 7.63 7.38 7.38 7.37 7.36 7.35 7.35 7.34 7.33 7.32 7.31 7.31 7.30 7.30 7.30 7.29 7.28 7.28 7.27 7.26 7.26 7.26
4.35 4.34 4.34 4.33 4.33 4.32 3.82 3.62 3.61 3.61 3.60 3.60 3.59 3.40 3.38 3.36 3.35 1.95 1.94 1.93 1.92 1.80 1.42 1.40 0.00
Ph
N
H
O
N
H
Me
O
O
Cl
131
1.00 2.02 2.01 5.02 2.03 2.02 2.03 1.00 4.02 1.02 3.00
f1 (ppm)


173.31 165.98 141.90 138.95 130.70 128.68 127.92 127.48 125.30 118.42
77.32 77.00 76.68 63.89 58.41 52.76 44.44 29.16 26.07 19.48
Ph
N
H
O
N
H
Me
O
O
Cl
131
f1 (ppm)


7.61
7.36
7.35
7.35
7.34
7.34
7.34
7.33
7.33
7.32
7.30
7.30
7.29
7.28
7.27
7.27
7.26
7.26
7.25
7.24
7.24
7.23
7.22
7.22
7.21
7.20
4.46
4.44
3.73
3.34
3.32
3.30
3.29
1.71
1.36
1.35
0.00
Ph
N
H
O
NHBn
Me
132
1.00
10.42
2.03
2.04
1.01
1.04
3.08
f1 (ppm)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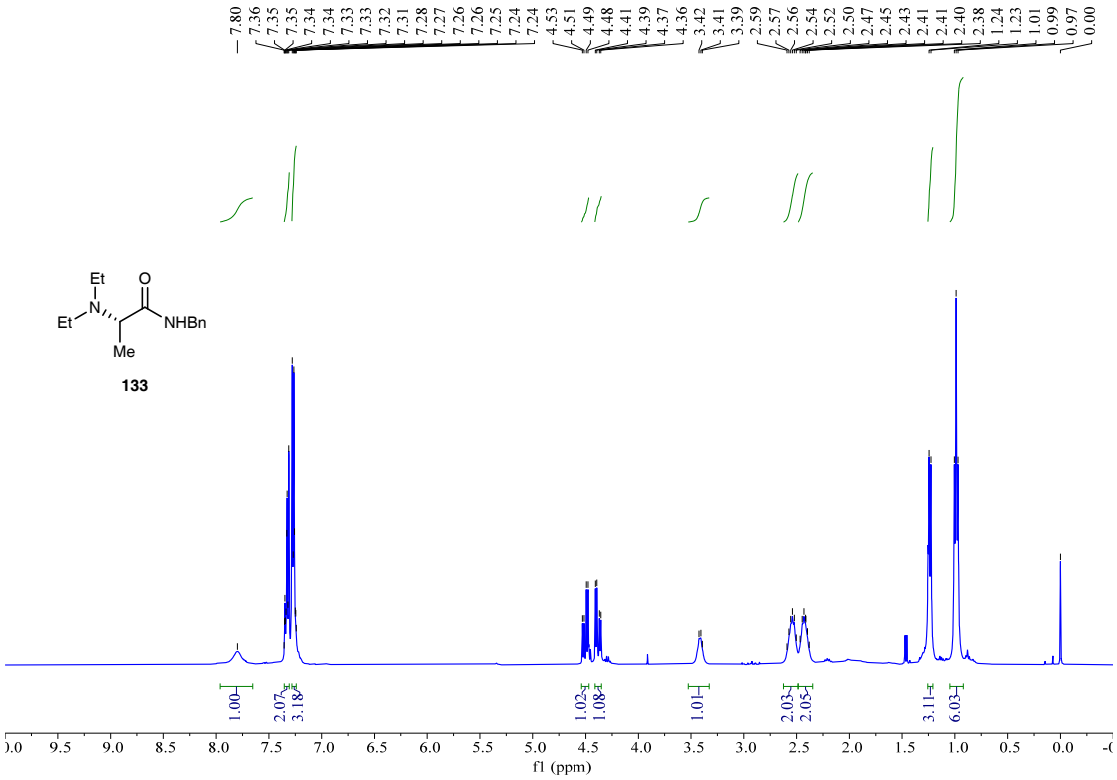
139.31
138.47
128.66
128.55
128.01
127.57
127.37
127.30
77.32
77.00
76.68
57.89
52.67
42.96
19.83
Ph
N
H
O
NHBn
Me
132
f1 (ppm)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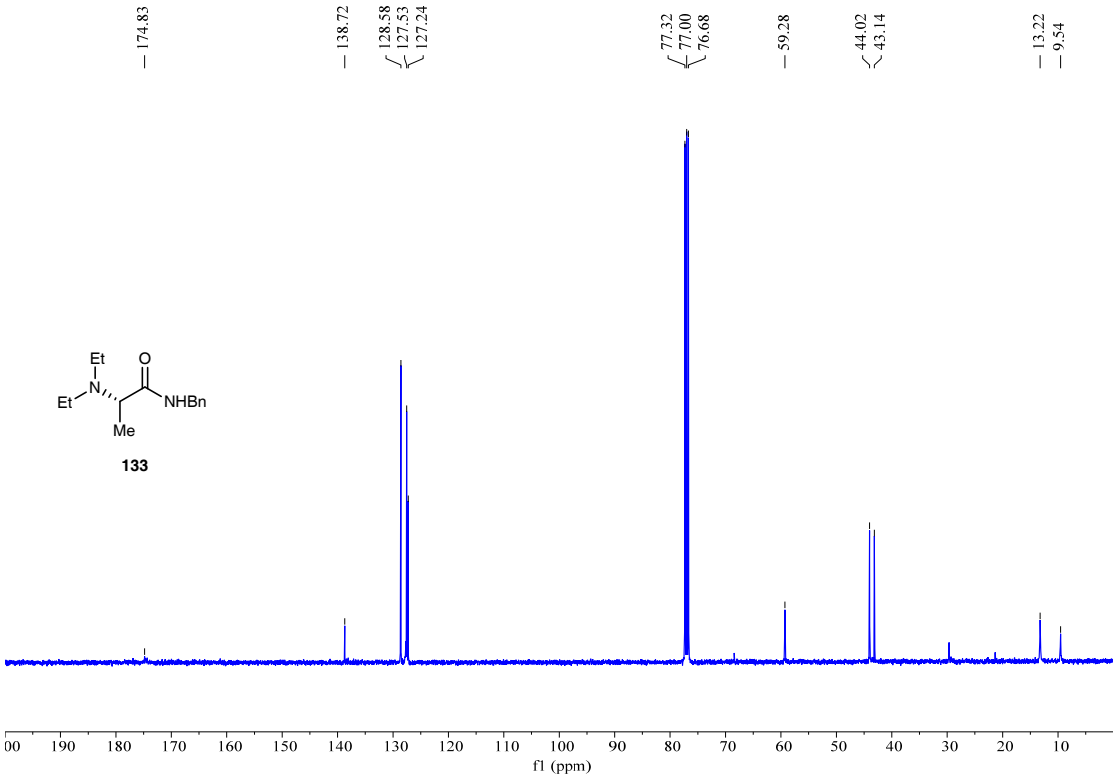
7.36
7.35
7.35
7.34
7.34
7.33
7.33
7.32
7.31
7.28
7.27
7.26
7.26
7.25
7.24
7.24
4.53
4.51
4.49
4.48
4.41
4.39
4.37
4.36
3.42
3.41
3.39
2.59
2.57
2.56
2.54
2.52
2.50
2.47
2.45
2.43
2.41
2.41
2.40
2.38
1.24
1.23
1.01
0.99
0.97
0.00
Et
O
N
Et
NHBn
Me
133
1.00
2.07
3.18
1.02
1.08
1.01
2.03
2.05
3.11
6.03
f1 (ppm)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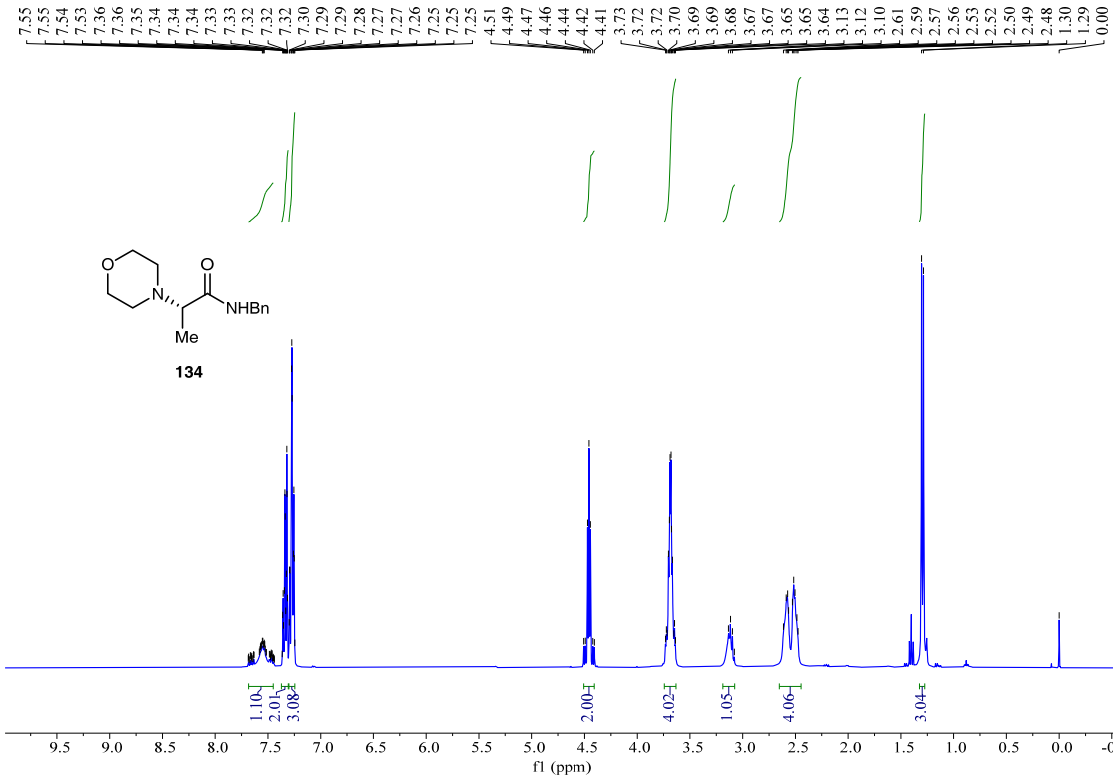
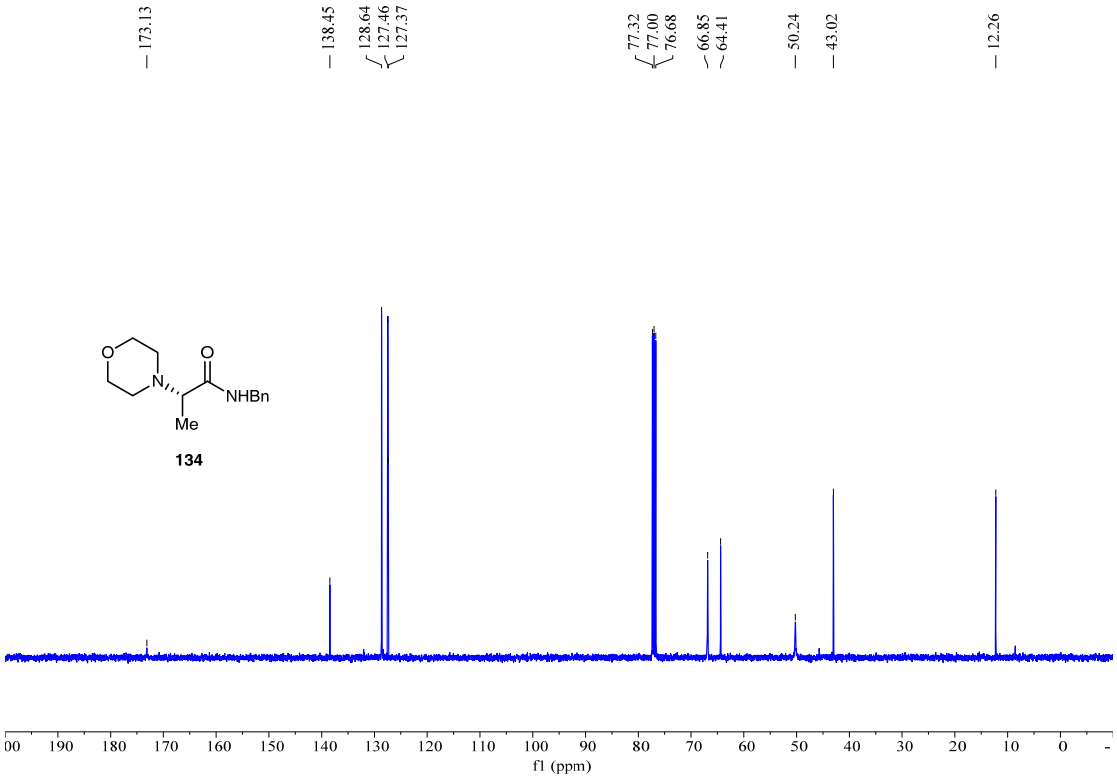
138.72
128.58
127.53
127.24
77.32
77.00
76.68
59.28
44.02
43.14
13.22
9.54
Et
O
N
Et
NHBn
Me
133
f1 (ppm)


7.55 7.55 7.54 7.53 7.36 7.36 7.35 7.34 7.34 7.34 7.33 7.33 7.32 7.32 7.32 7.30 7.29 7.29 7.28 7.27 7.27 7.26 7.25 7.25 7.25
4.51 4.49 4.47 4.46 4.44 4.42 4.41
3.73 3.72 3.72 3.70 3.69 3.69 3.68 3.67 3.67 3.65 3.65 3.64 3.13 3.12 3.10 2.61 2.59 2.57 2.56 2.53 2.52 2.50 2.49 2.48 1.30 1.29 0.00
O
N
O
NHBn
Me
134
1.10
2.01
3.08
2.00
4.02
1.05
4.06
3.04
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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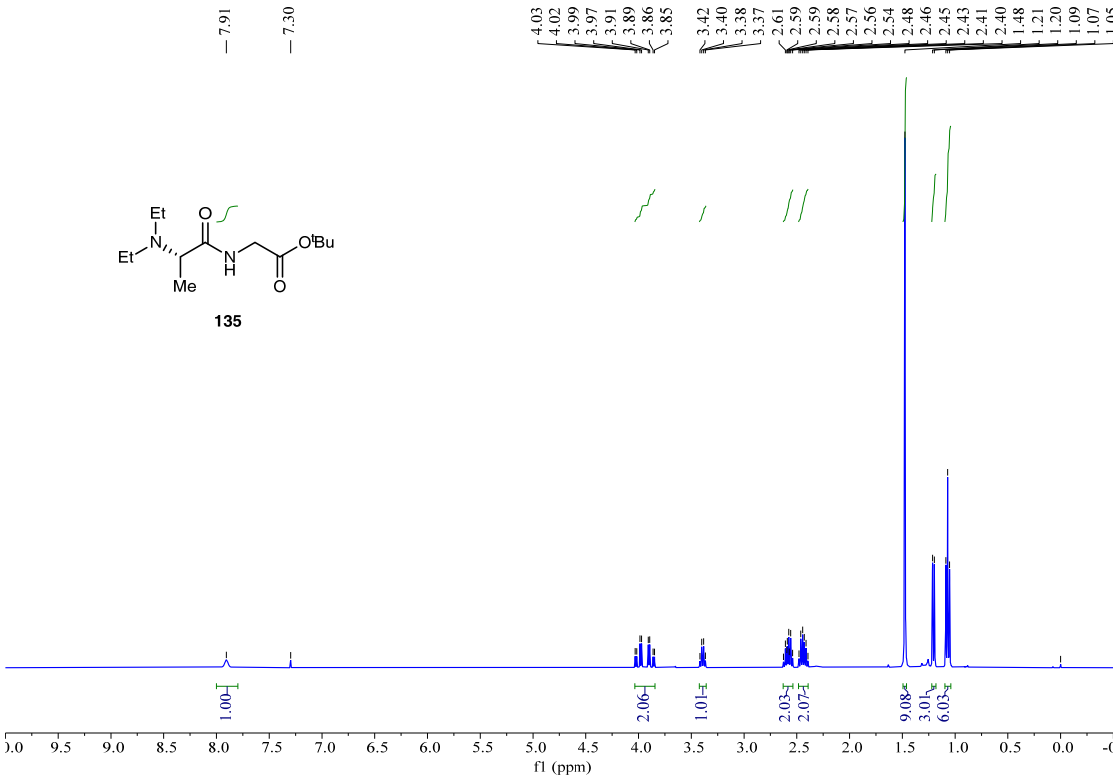
138.45
128.64
127.46
127.37
77.32
77.00
76.68
66.85
64.41
50.24
43.02
12.26
O
N
O
NHBn
Me
134
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.30
4.03
4.02
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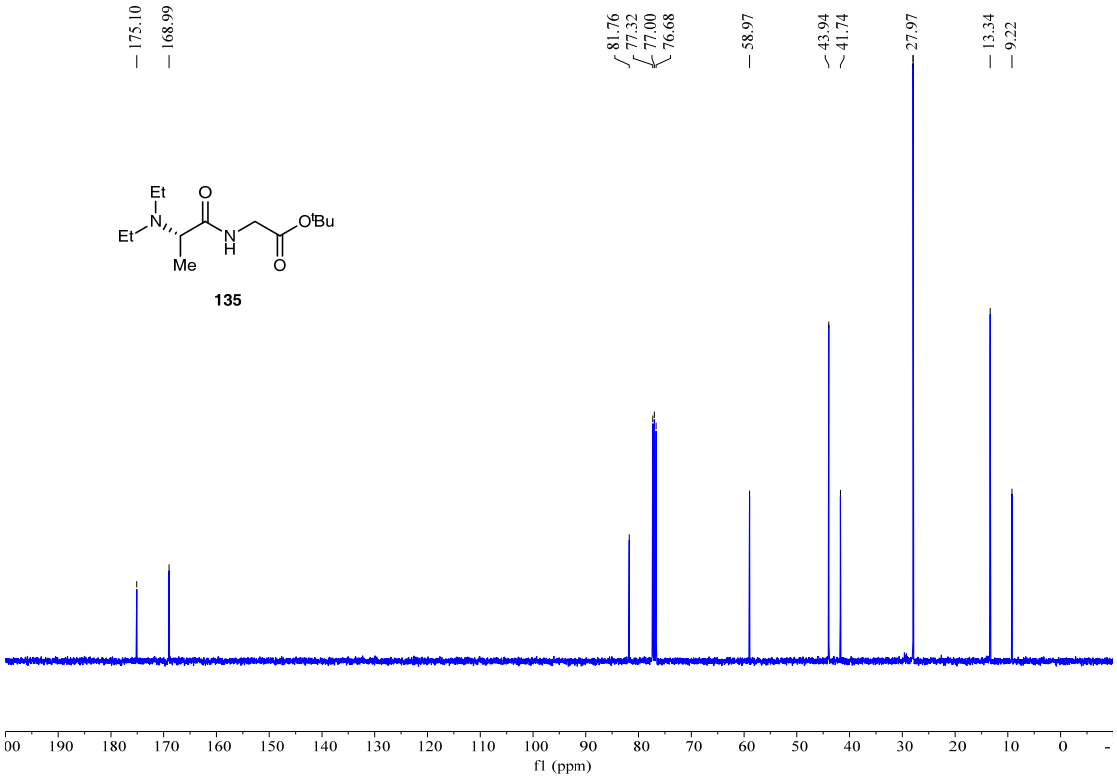
3.97
3.91
3.89
3.86
3.85
3.42
3.40
3.38
3.37
2.61
2.59
2.59
2.58
2.57
2.56
2.54
2.48
2.46
2.45
2.43
2.41
2.40
1.48
1.21
1.20
1.09
1.07
Et
O
N
Et
Me
N
H
O
OtBu
O
135
1.00
2.06
1.01
2.03
2.07
9.08
3.01
6.03
f1 (ppm)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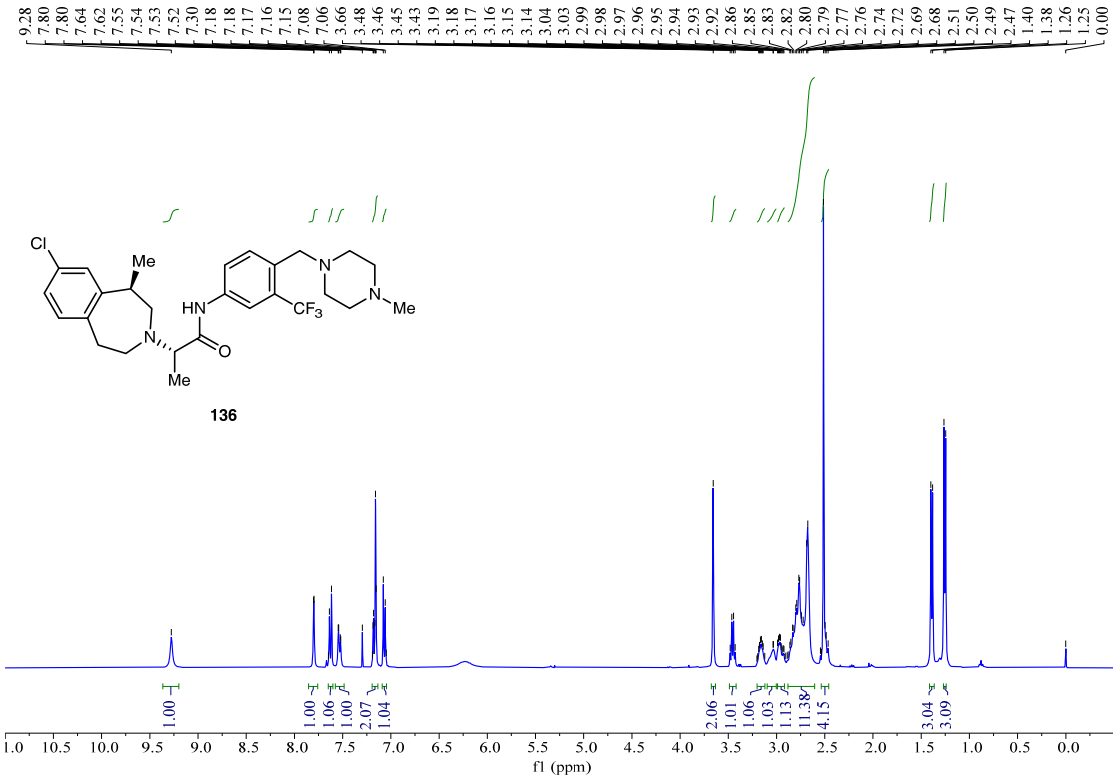
77.00
76.68
58.97
43.94
41.74
27.97
13.34
9.22
Et
O
N
Et
Me
N
H
O
OtBu
O
135
f1 (ppm)


9.28 7.80 7.80 7.64 7.62 7.55 7.54 7.53 7.52 7.30 7.18 7.18 7.17 7.16 7.15 7.08 7.06 3.66 3.48 3.46 3.45 3.43 3.19 3.18 3.17 3.16 3.15 3.14 3.04 3.03 2.99 2.98 2.97 2.96 2.95 2.94 2.93 2.92 2.86 2.85 2.83 2.82 2.80 2.79 2.77 2.76 2.74 2.72 2.69 2.68 2.51 2.50 2.49 2.47 1.40 1.38 1.26 1.25 0.00
Cl
Me
HN
CF3
N
N
Me
O
Me
136
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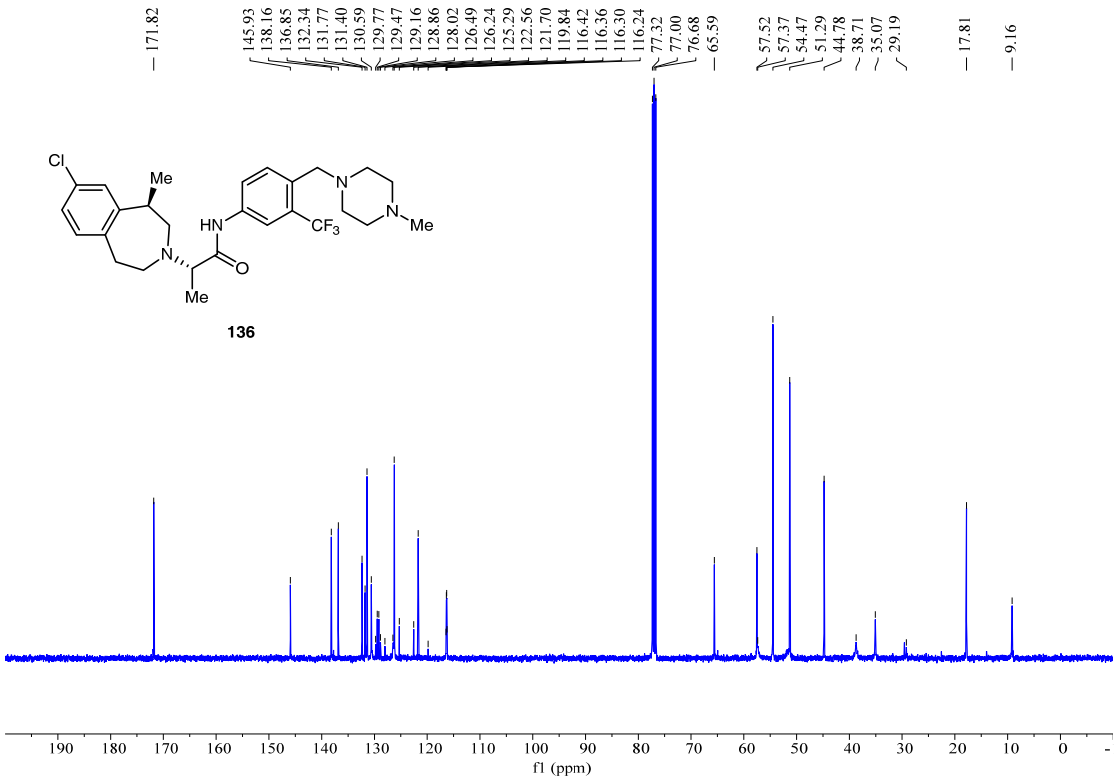
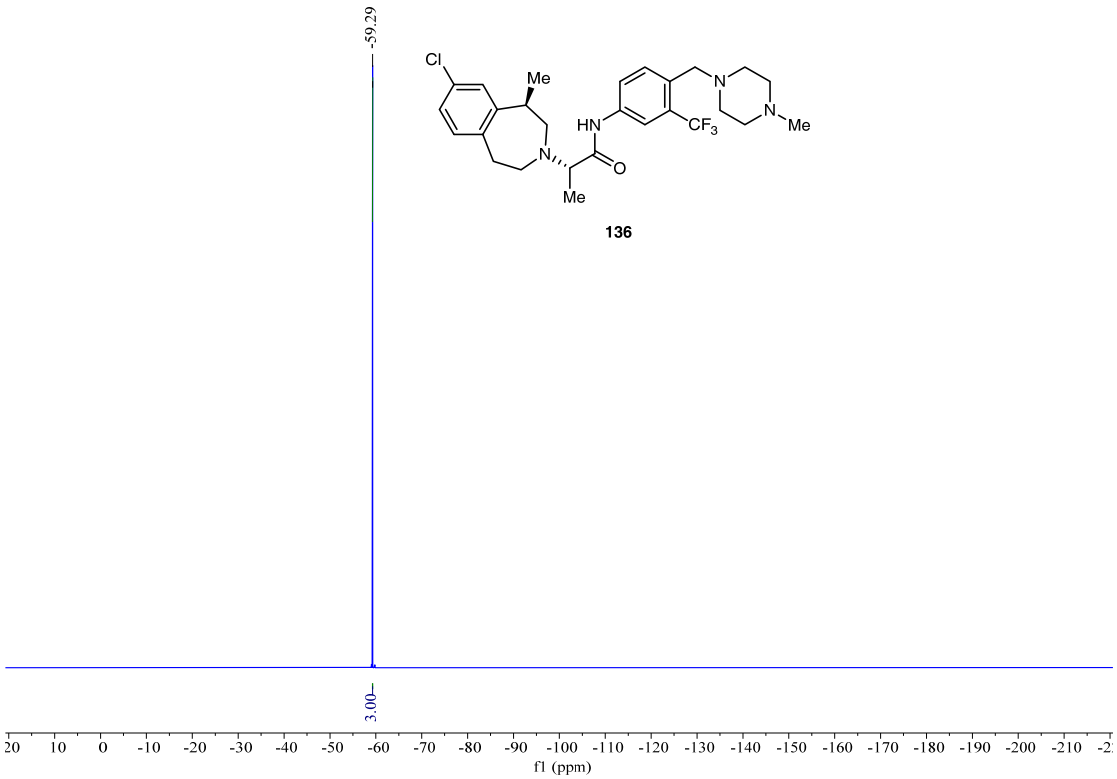
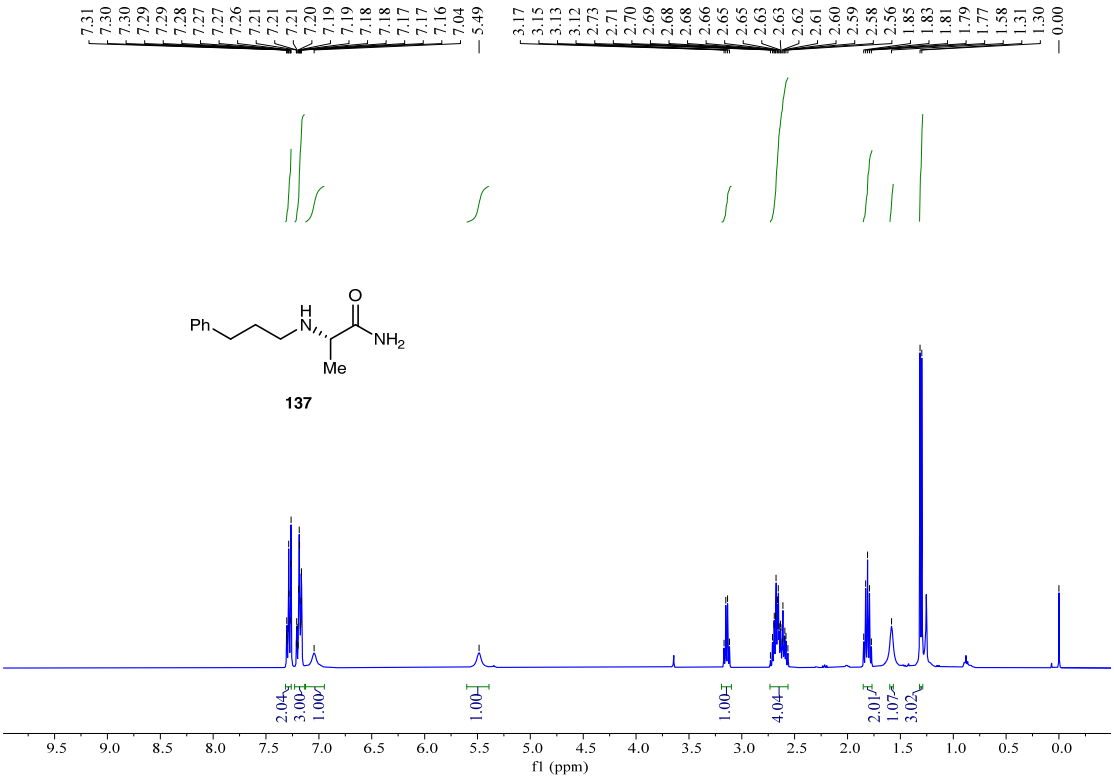
fl (ppm)


171.82
145.93 138.16 136.85 132.34 131.77 131.40 130.59 129.77 129.47 129.16 128.86 128.02 126.49 126.24 125.29 122.56 121.70 119.84 116.42 116.36 116.30 116.24
77.32 77.00 76.68
65.59
57.52 57.37 54.47 51.29 44.78 38.71 35.07 29.19
17.81
9.16
Cl
Me
HN
CF3
N
N
Me
O
Me
136
fl (ppm)


-59.29
Cl
Me
HN
N
O
Me
N
N
Me
CF3
3.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

136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7.21
7.21
7.20
7.19
7.19
7.18
7.18
7.17
7.17
7.16
7.04
5.49
3.17
3.15
3.13
3.12
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2.70
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2.65
2.65
2.63
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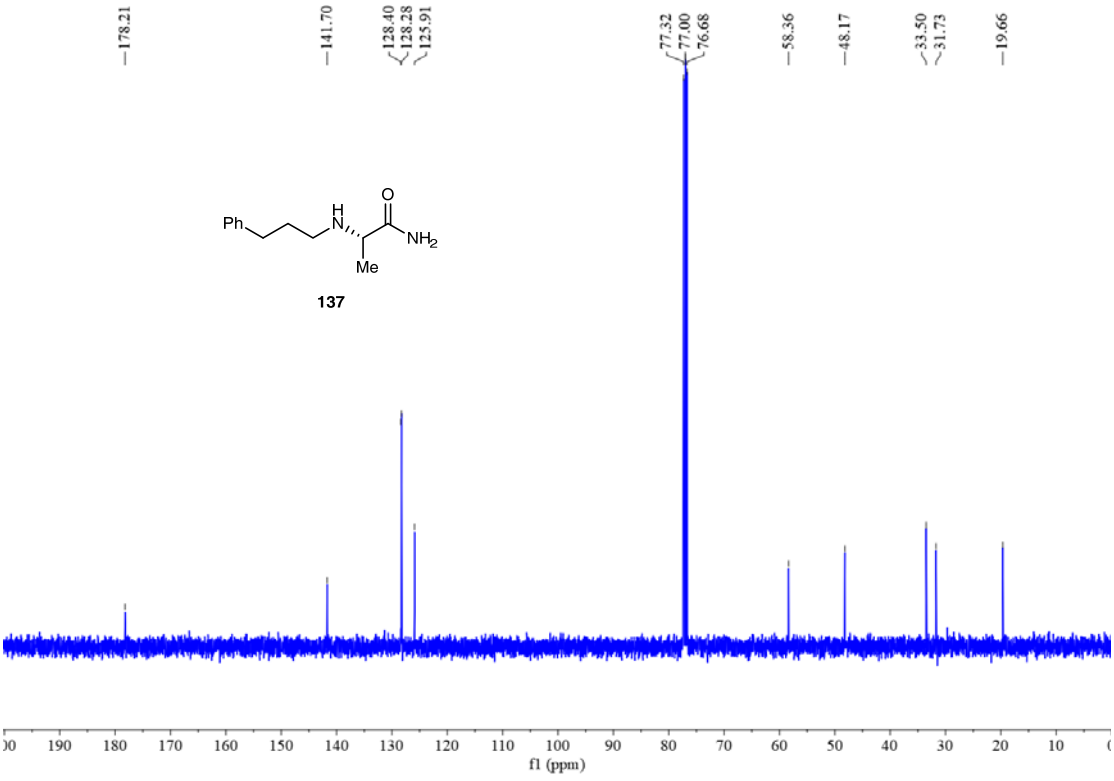
2.62
2.61
2.60
2.59
2.58
2.56
1.85
1.83
1.81
1.79
1.77
1.58
1.31
1.30
0.00
Ph
N
H
O
NH2
Me
137
2.04
3.00
1.00
1.00
1.00
4.04
2.01
1.07
3.02
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


178.21
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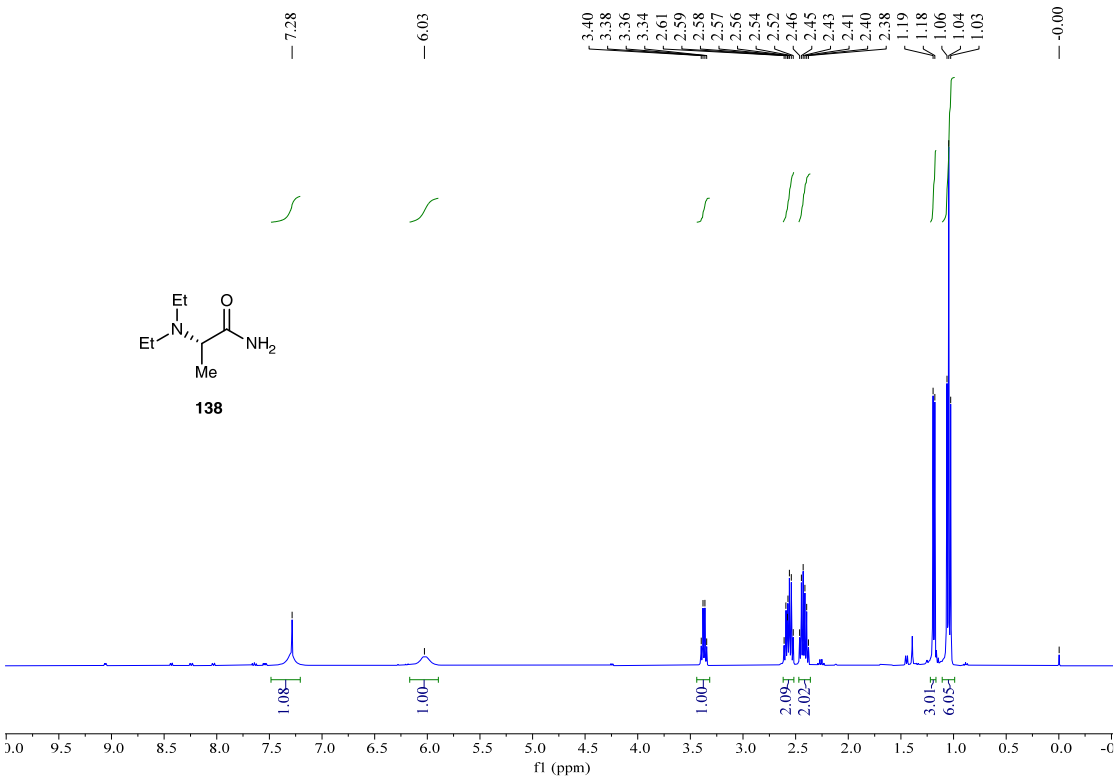
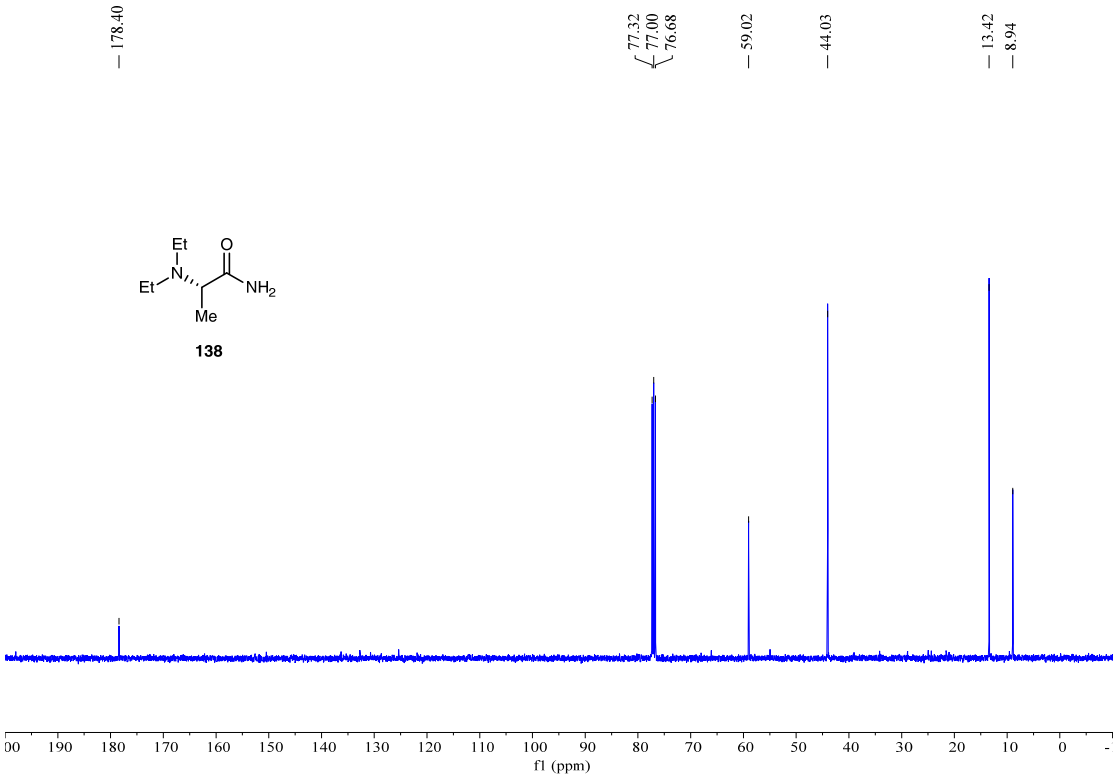
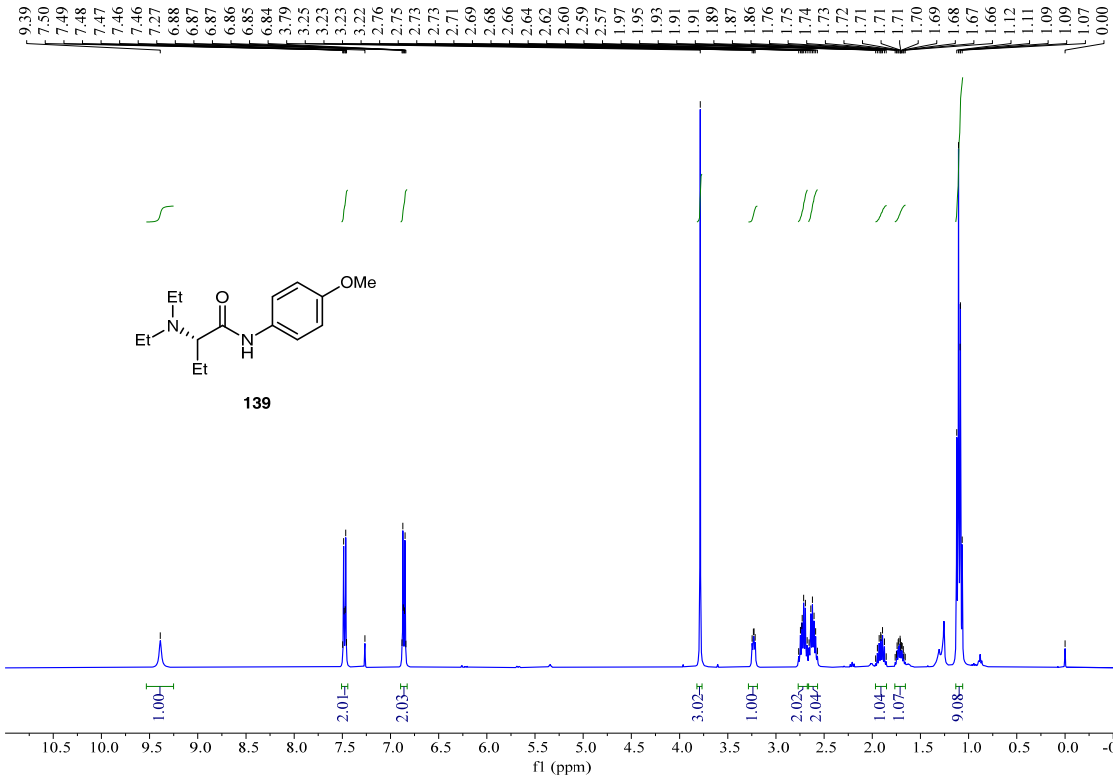
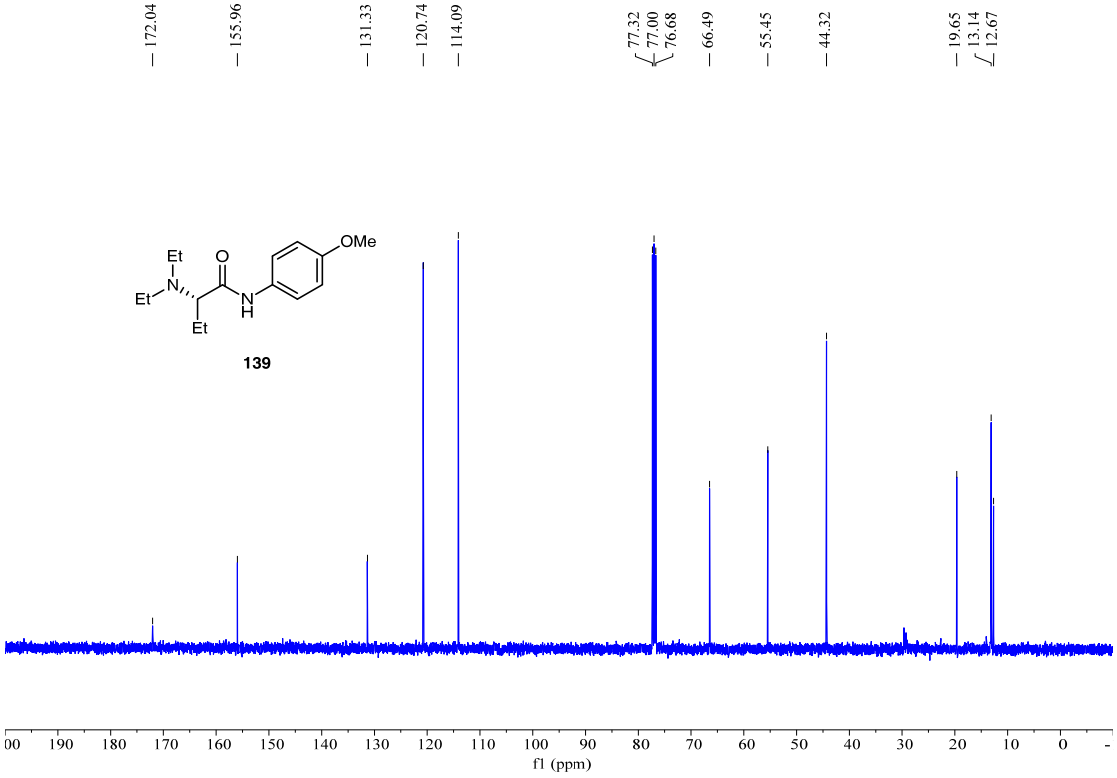
128.40
128.28
125.91
77.32
77.00
76.68
58.36
48.17
33.50
31.73
19.66
Ph
N
H
O
NH2
Me
137
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)


7.28
6.03
3.40
3.38
3.36
3.34
2.61
2.59
2.58
2.57
2.56
2.54
2.52
2.46
2.45
2.43
2.41
2.40
2.38
1.19
1.18
1.06
1.04
1.03
-0.00
Et
O
Et
N
NH2
Me
138
1.08
1.00
1.00
2.09
2.02
3.01
6.05
f1 (ppm)


178.40
77.32
77.00
76.68
59.02
44.03
13.42
8.94
Et
O
Et
N
NH2
Me
138
f1 (ppm)


9.39 7.50 7.49 7.48 7.47 7.46 7.46 7.27 6.88 6.87 6.87 6.86 6.85 6.84 3.79 3.25 3.23 3.23 3.22 2.76 2.75 2.73 2.73 2.71 2.69 2.68 2.66 2.64 2.62 2.60 2.59 2.57 1.97 1.95 1.93 1.91 1.91 1.89 1.87 1.86 1.76 1.75 1.74 1.73 1.72 1.71 1.71 1.71 1.70 1.69 1.68 1.67 1.66 1.12 1.11 1.09 1.09 1.07 0.00
Et
N
Et
O
N
H
Et
OMe
139
1.00
2.01
2.03
3.02
1.00
2.02
2.04
1.04
1.07
9.08
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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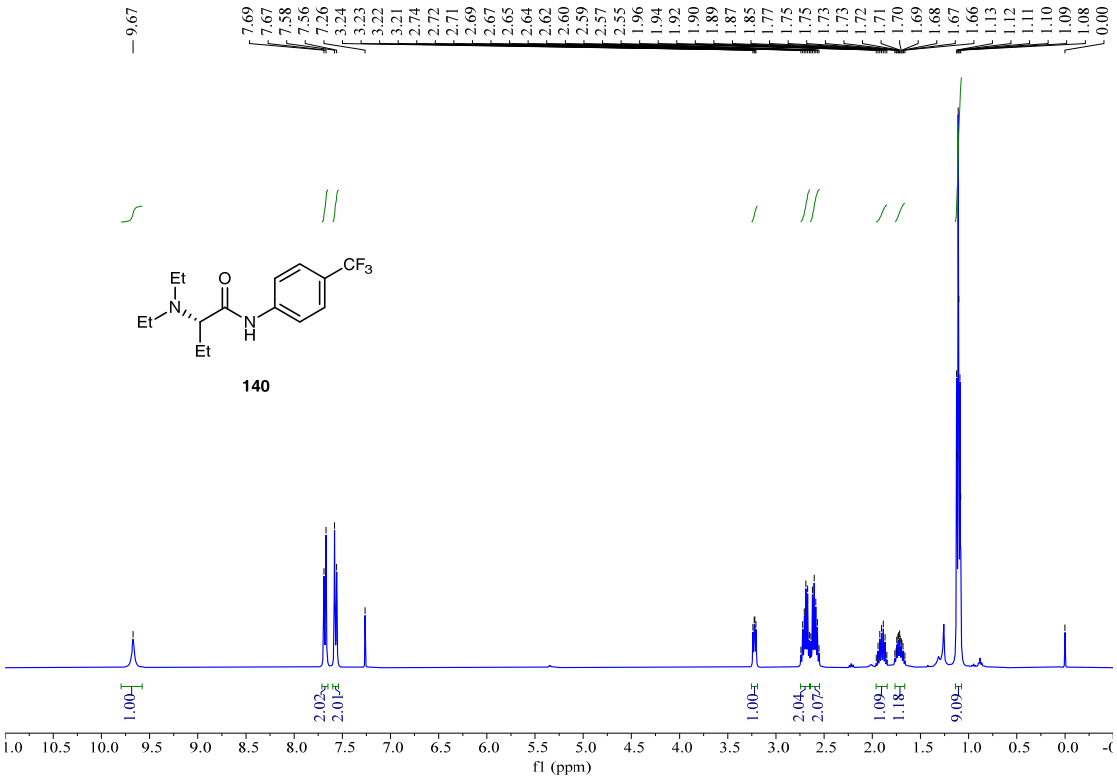
131.33
120.74
114.09
77.32
77.00
76.68
66.49
55.45
44.32
19.65
13.14
12.67
Et
N
Et
O
N
H
Et
OMe
139
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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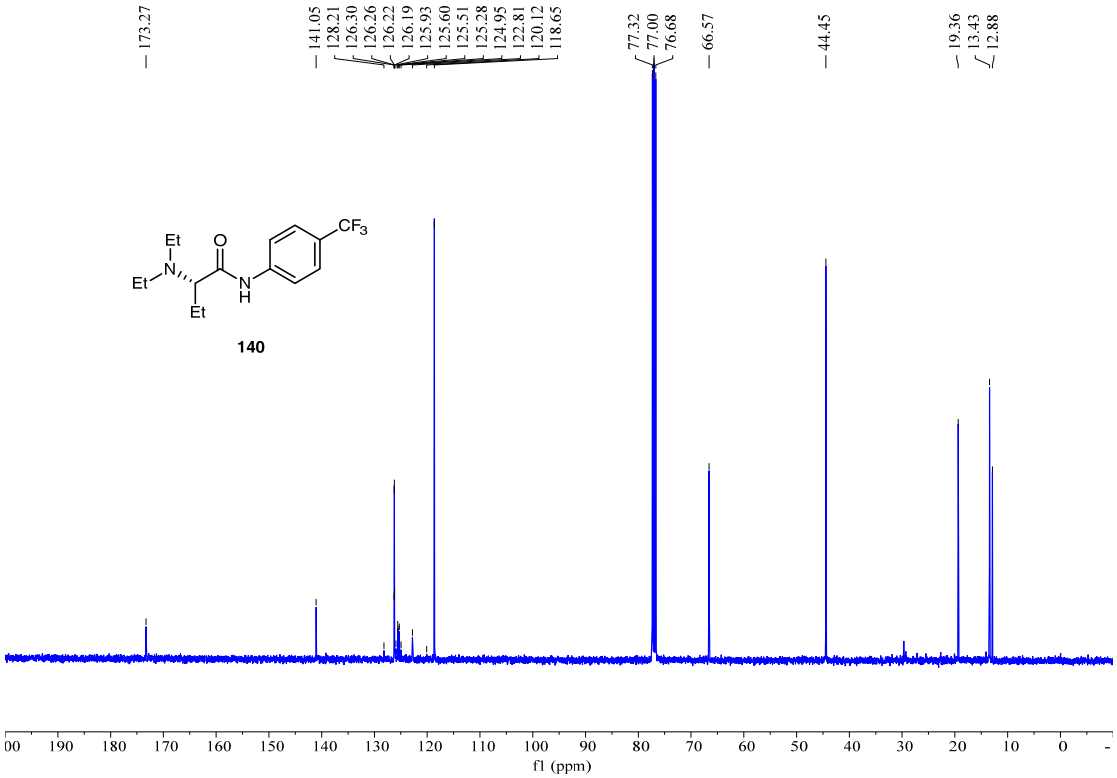
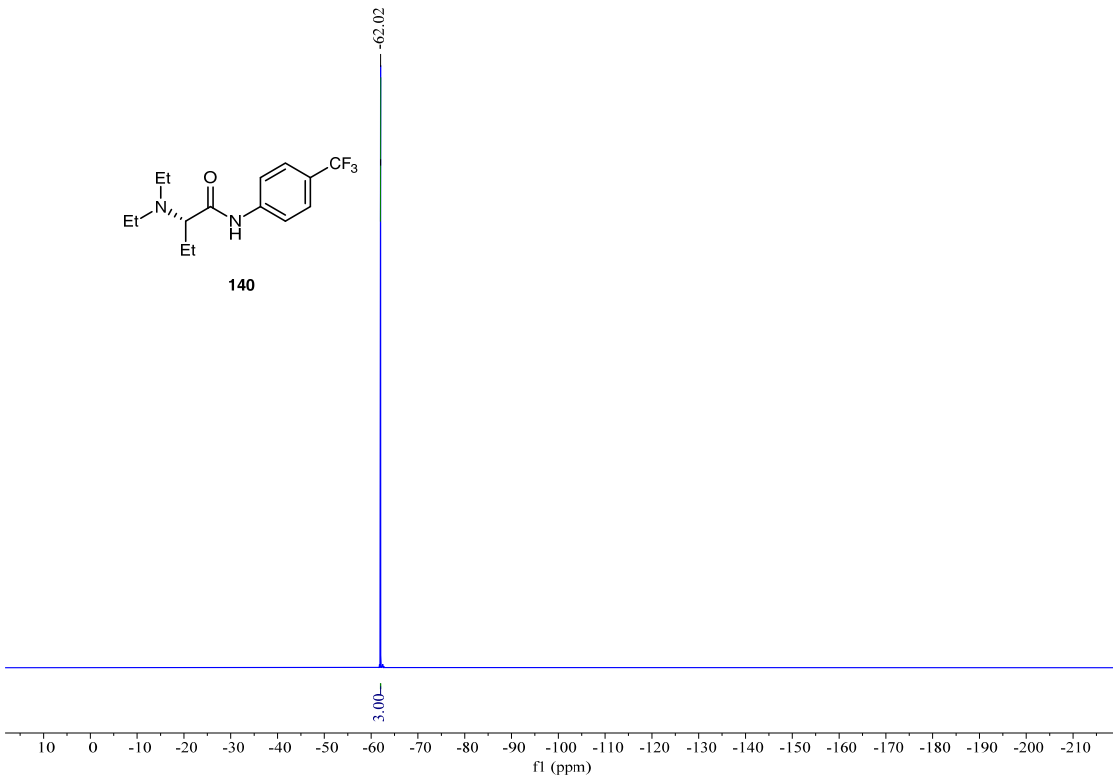
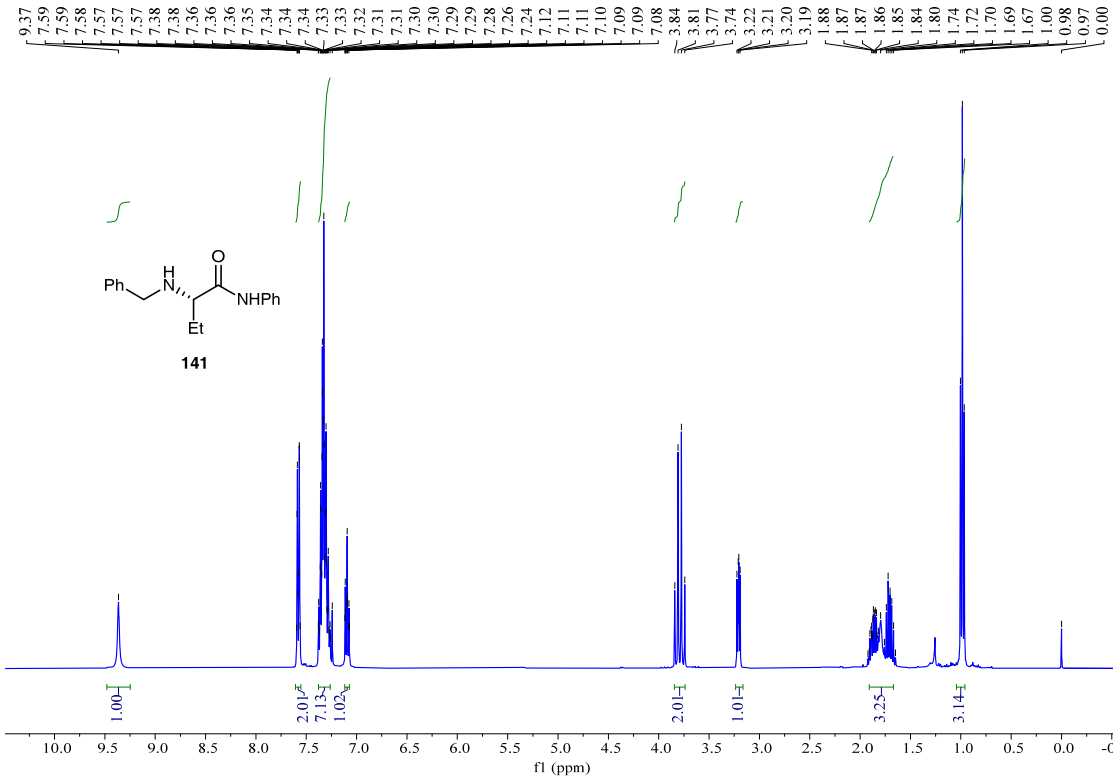
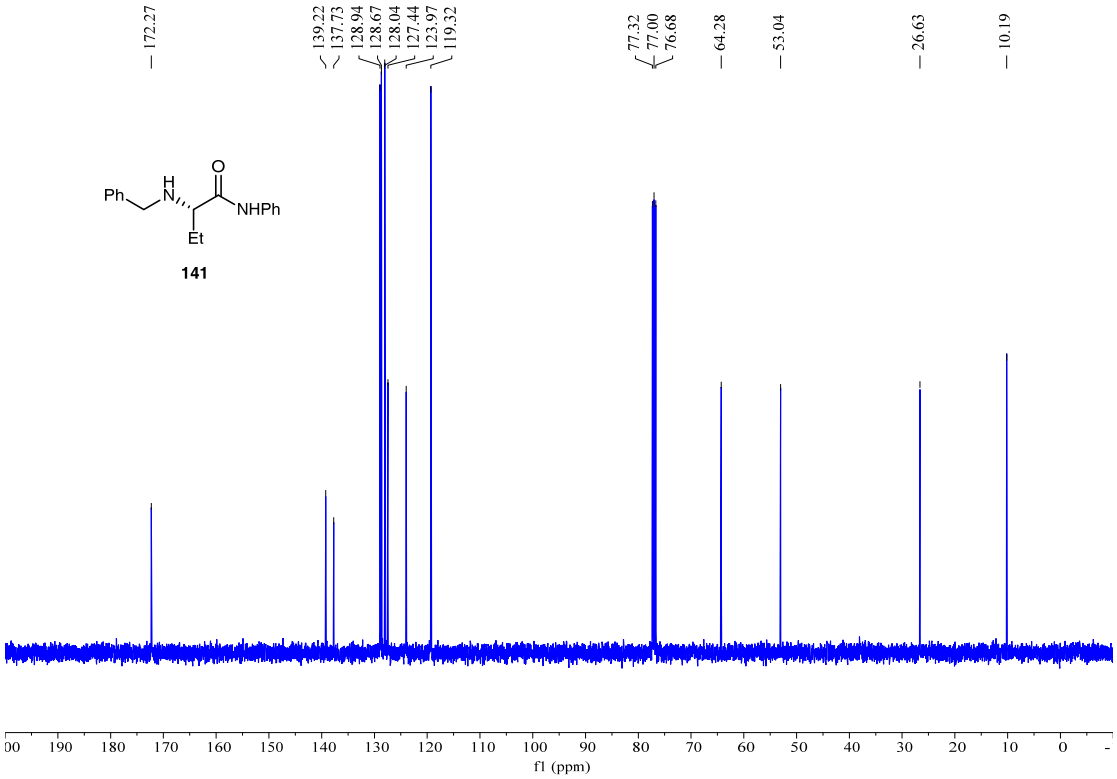
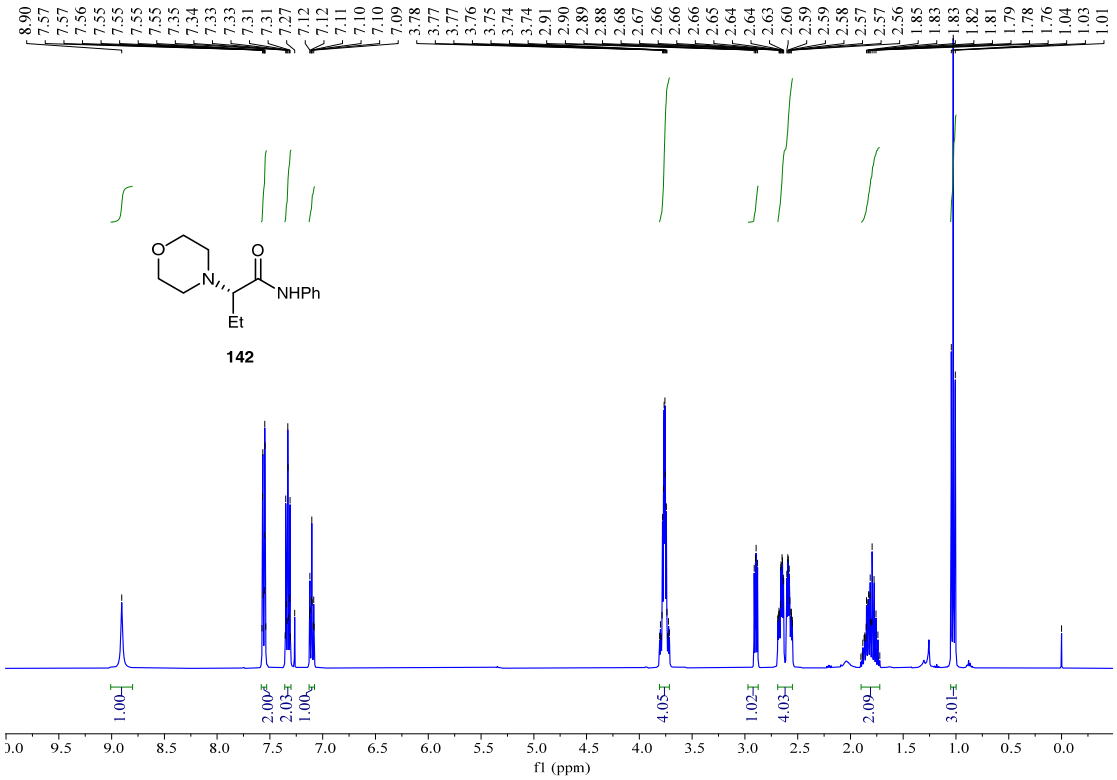
7.69
7.67
7.58
7.56
7.26
3.24
3.23
3.22
3.21
2.74
2.72
2.71
2.69
2.67
2.65
2.64
2.62
2.60
2.59
2.57
2.55
1.96
1.94
1.92
1.90
1.89
1.87
1.85
1.77
1.75
1.75
1.73
1.72
1.71
1.70
1.69
1.68
1.67
1.66
1.13
1.12
1.11
1.10
1.09
1.08
0.00
Et
N
Et
O
N
H
Et
CF3
140
1.00
2.02
2.01
1.00
2.04
2.07
1.09
1.18
9.09
f1 (ppm)

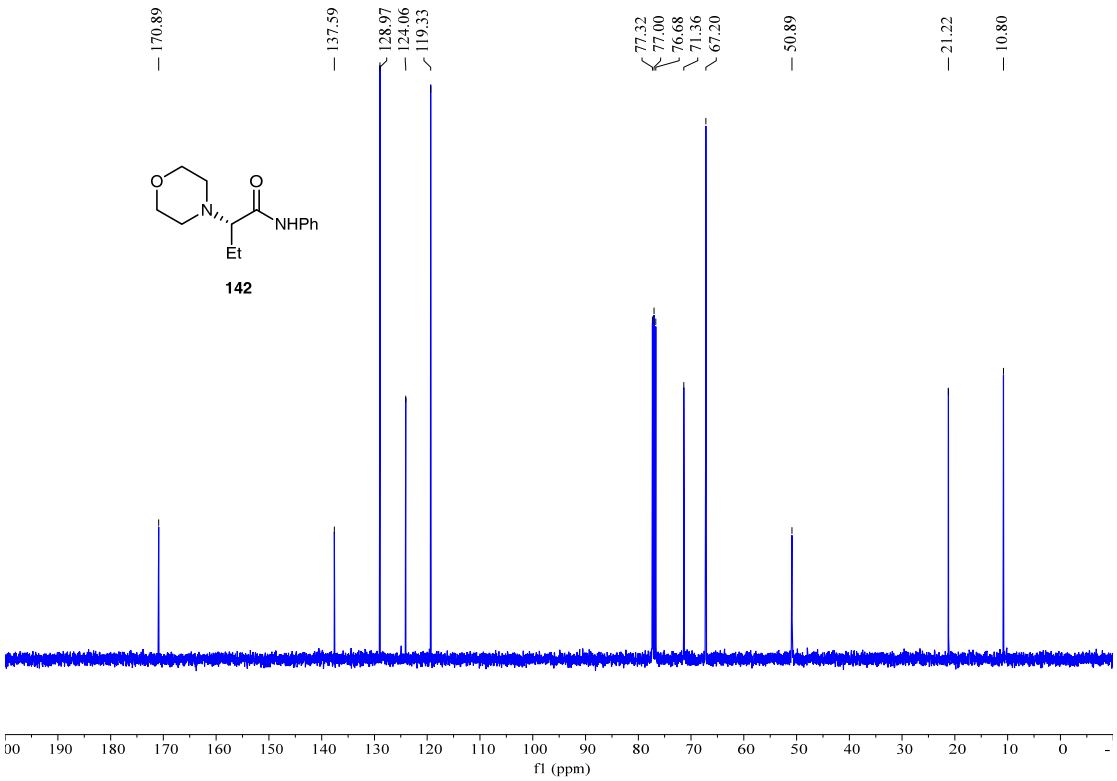

173.27
141.05
128.21
126.30
126.26
126.22
126.19
125.93
125.60
125.51
125.28
124.95
122.81
120.12
118.65
77.32
77.00
76.68
66.57
44.45
19.36
13.43
12.88
Et
N
Et
O
N
H
Et
CF3
140
f1 (ppm)

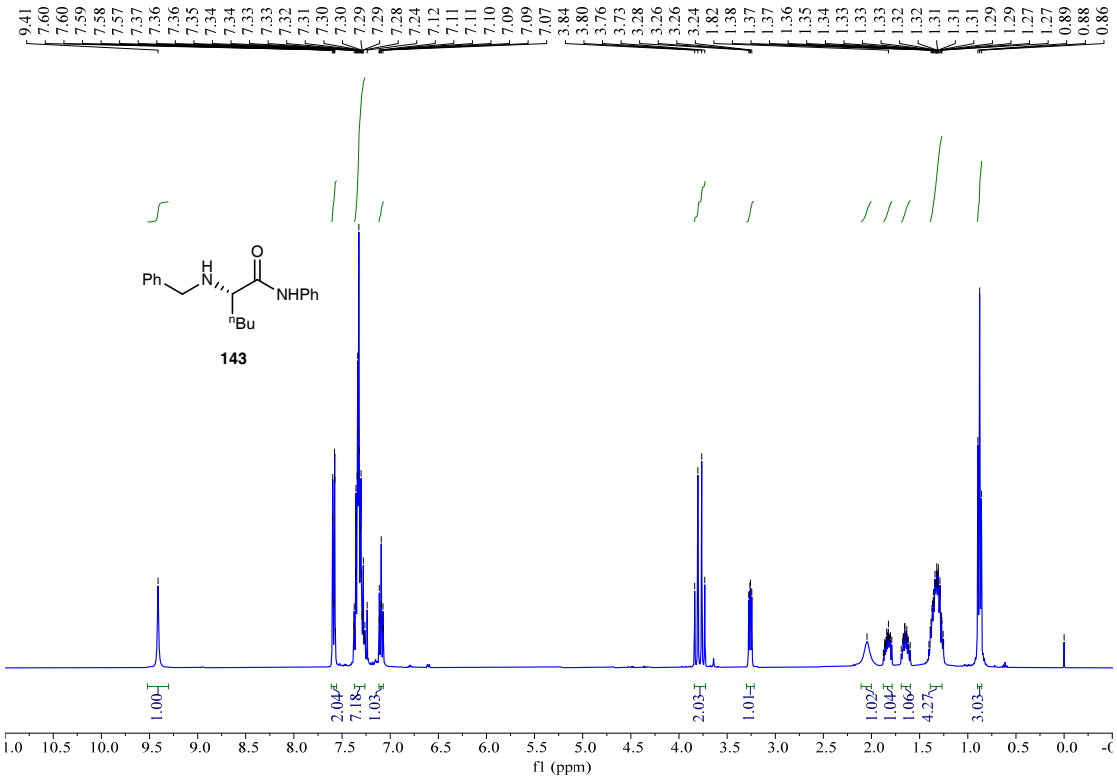

-62.02
Et
O
CF3
Et
N
N
H
Et
140
3.00
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

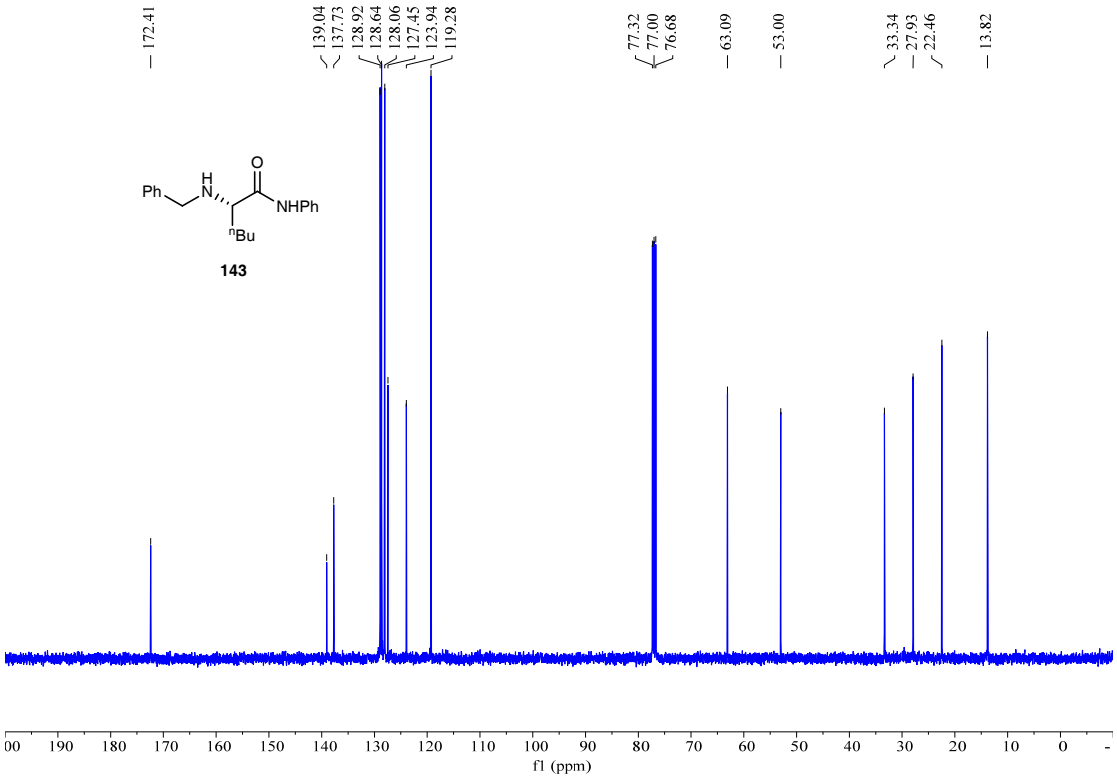

9.37 7.59 7.59 7.58 7.57 7.57 7.57 7.38 7.38 7.36 7.36 7.35 7.34 7.34 7.34 7.33 7.33 7.32 7.31 7.31 7.30 7.30 7.29 7.29 7.28 7.26 7.24 7.12 7.11 7.11 7.10 7.09 7.09 7.08 3.84 3.81 3.77 3.74 3.22 3.21 3.20 3.19 1.88 1.87 1.87 1.86 1.85 1.84 1.80 1.74 1.72 1.70 1.69 1.67 1.00 0.98 0.97 0.00
Ph
H
N
O
NHPh
Et
141
1.00
2.01
7.13
1.02
2.01
1.01
3.25
3.14
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

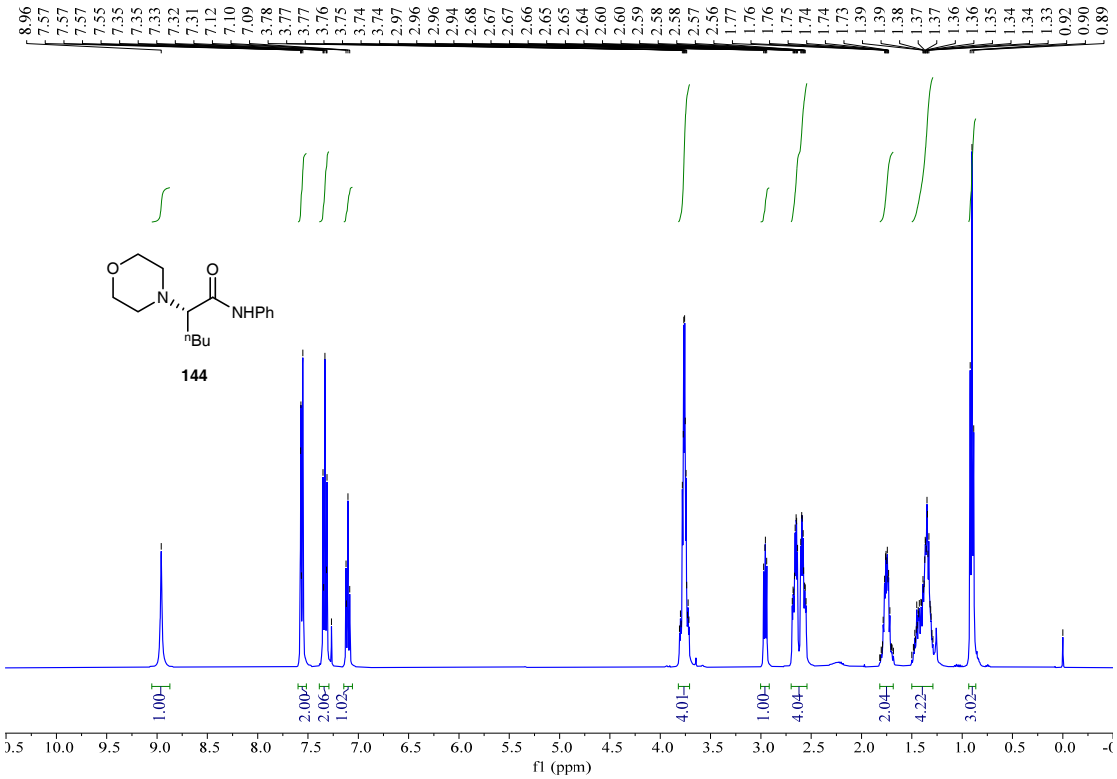

172.27
139.22 137.73 128.94 128.67 128.04 127.44 123.97 119.32
77.32 77.00 76.68
64.28
53.04
26.63
10.19
Ph
H
N
O
NHPh
Et
141
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


8.90 7.57 7.57 7.56 7.55 7.55 7.55 7.55 7.35 7.34 7.33 7.33 7.31 7.31 7.27 7.12 7.12 7.11 7.10 7.10 7.09 3.78 3.77 3.77 3.76 3.75 3.74 3.74 2.91 2.90 2.89 2.88 2.68 2.67 2.66 2.66 2.66 2.65 2.64 2.64 2.63 2.60 2.59 2.59 2.58 2.57 2.57 2.56 1.85 1.83 1.83 1.82 1.81 1.79 1.78 1.76 1.04 1.03 1.01
O
N
O
NHPh
Et
142
1.00 2.00 2.03 1.00 4.05 1.02 4.03 2.09 3.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


170.89 137.59 128.97 124.06 119.33 77.32 77.00 76.68 71.36 67.20 50.89 21.22 10.80
O
N
O
NHPh
Et
142
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.41 7.60 7.60 7.59 7.58 7.57 7.37 7.36 7.36 7.35 7.34 7.34 7.33 7.33 7.32 7.31 7.30 7.30 7.29 7.29 7.28 7.24 7.12 7.11 7.11 7.10 7.09 7.09 7.07
3.84 3.80 3.76 3.73 3.28 3.26 3.26 3.24 1.82 1.38 1.37 1.37 1.36 1.35 1.34 1.33 1.33 1.33 1.32 1.32 1.31 1.31 1.31 1.29 1.27 1.27 0.89 0.88 0.86
Ph
N
H
O
NHPh
nBu
143
1.00 2.04 7.18 1.03 2.03 1.01 1.02 1.04 1.06 4.27 3.03
f1 (ppm)


172.41
139.04 137.73 128.92 128.64 128.06 127.45 123.94 119.28
77.32 77.00 76.68
63.09
53.00
33.34 27.93 22.46
13.82
Ph
N
H
O
NHPh
nBu
143
f1 (ppm)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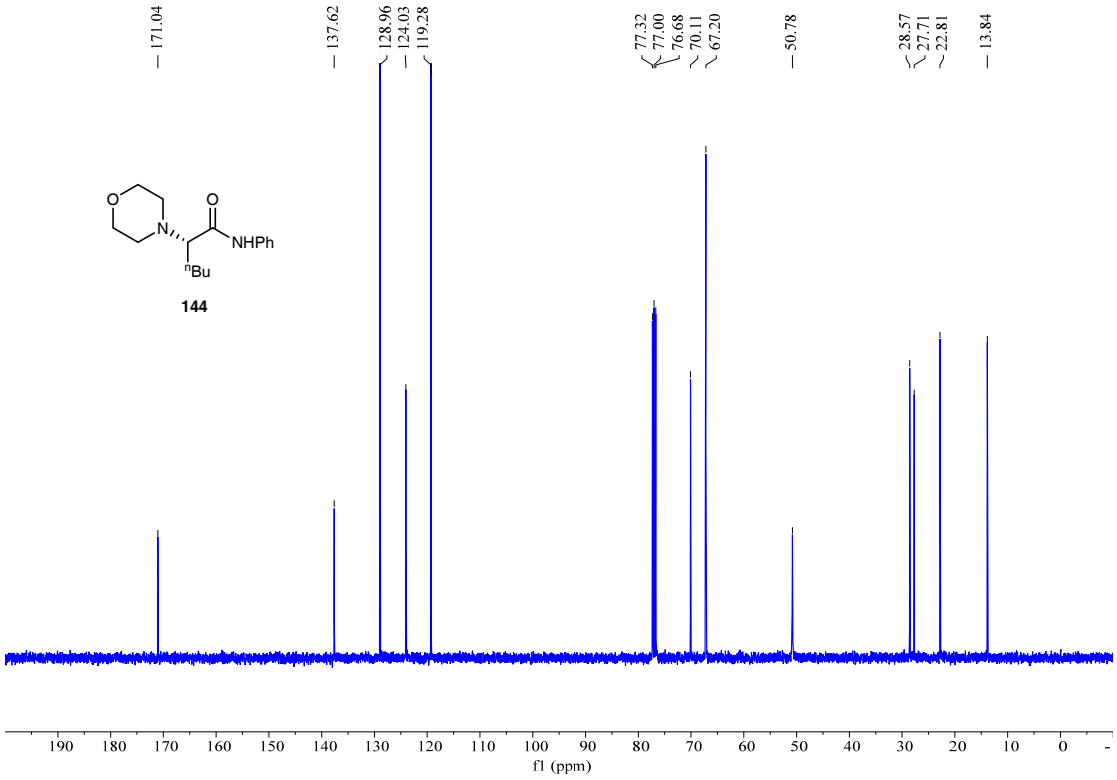
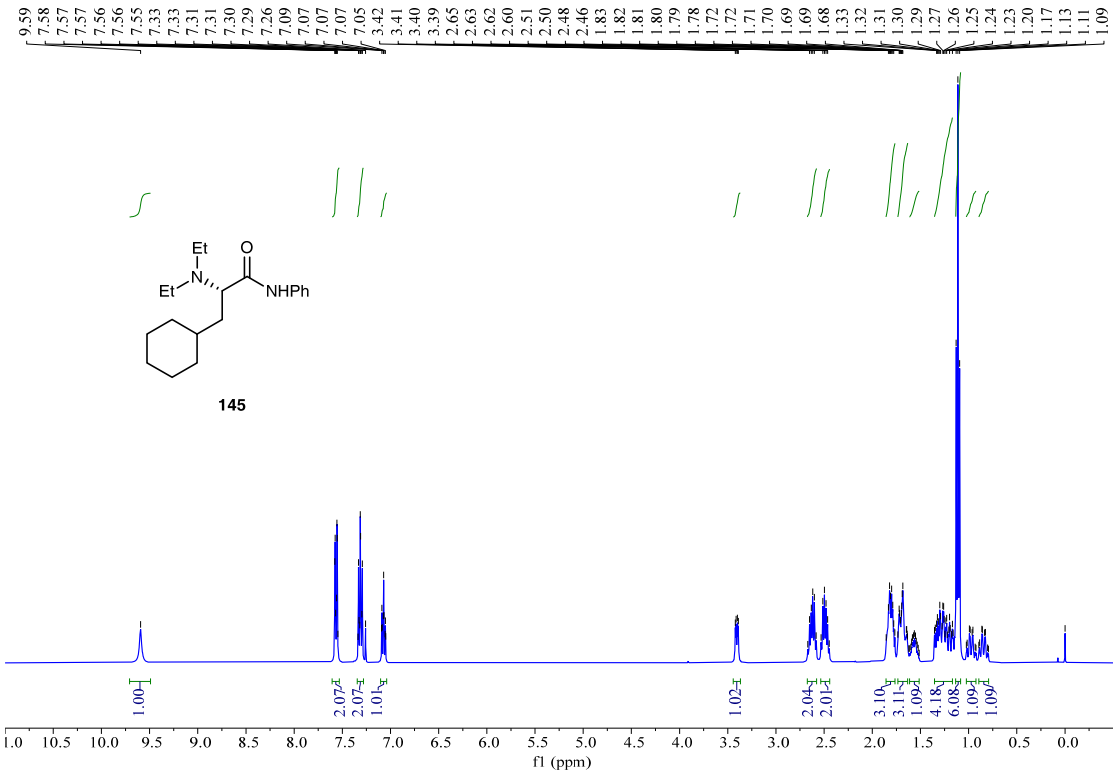
O
N
O
NHPh
nBu
144
1.00 2.00 2.06 1.02 4.01 1.00 4.04 2.04 4.22 3.02
f1 (ppm)


171.04 137.62 128.96 124.03 119.28 77.32 77.00 76.68 70.11 67.20 50.78 28.57 27.71 22.81 13.84
O
N
O
NHPh
nBu
144
f1 (ppm)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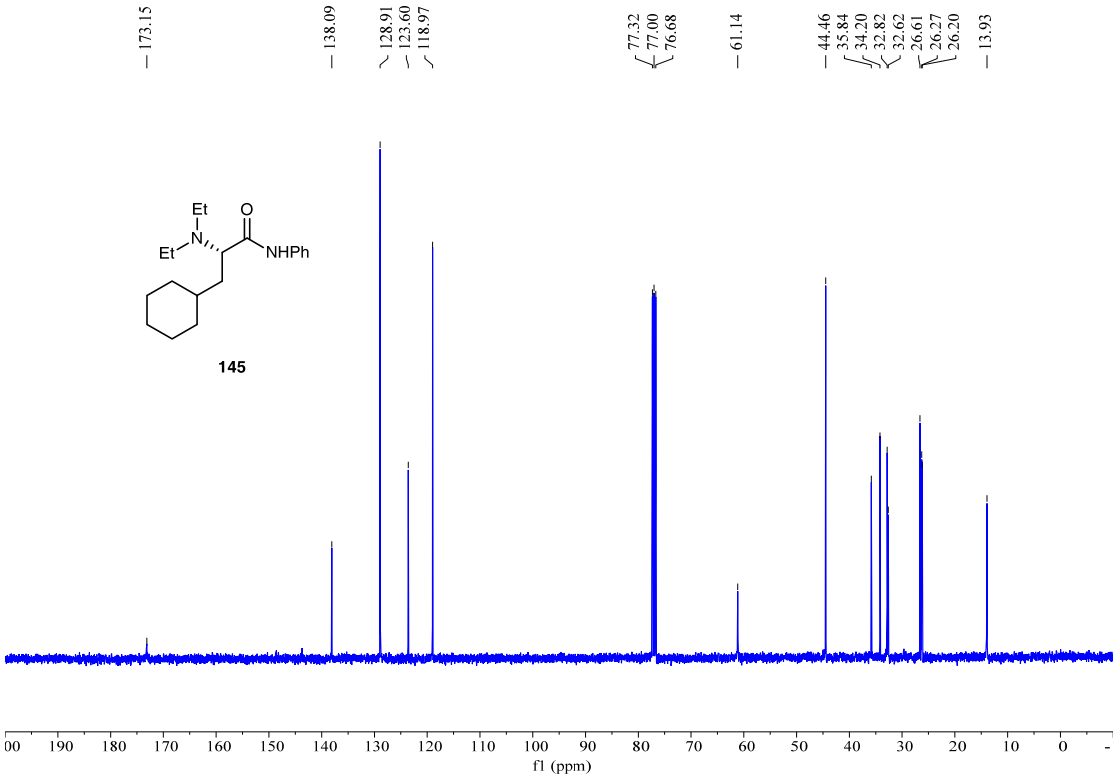
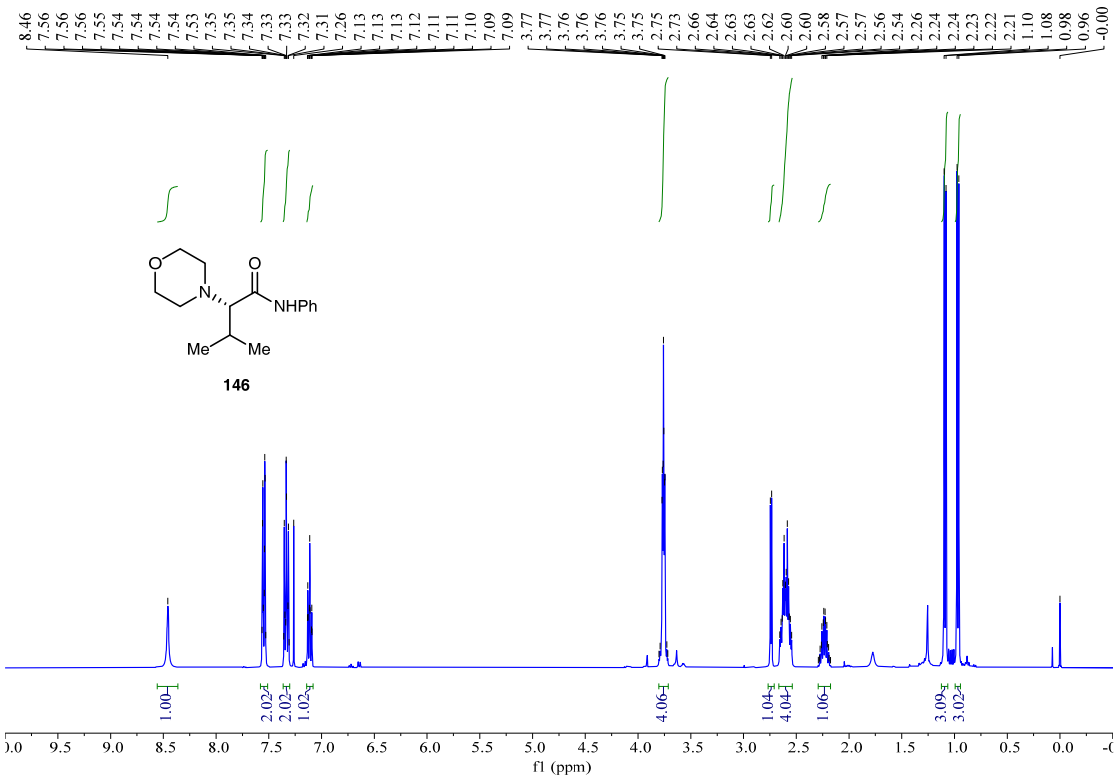
Et
N
Et
O
NHPh
145
1.00 2.07 2.07 1.01 1.02 2.04 2.01 3.10 3.11 1.09 4.18 6.08 1.09 1.09
f1 (ppm)


173.15 138.09 128.91 123.60 118.97 77.32 77.00 76.68 61.14 44.46 35.84 34.20 32.82 32.62 26.61 26.27 26.20 13.93
Et
N
Et
O
NHPh
145
f1 (ppm)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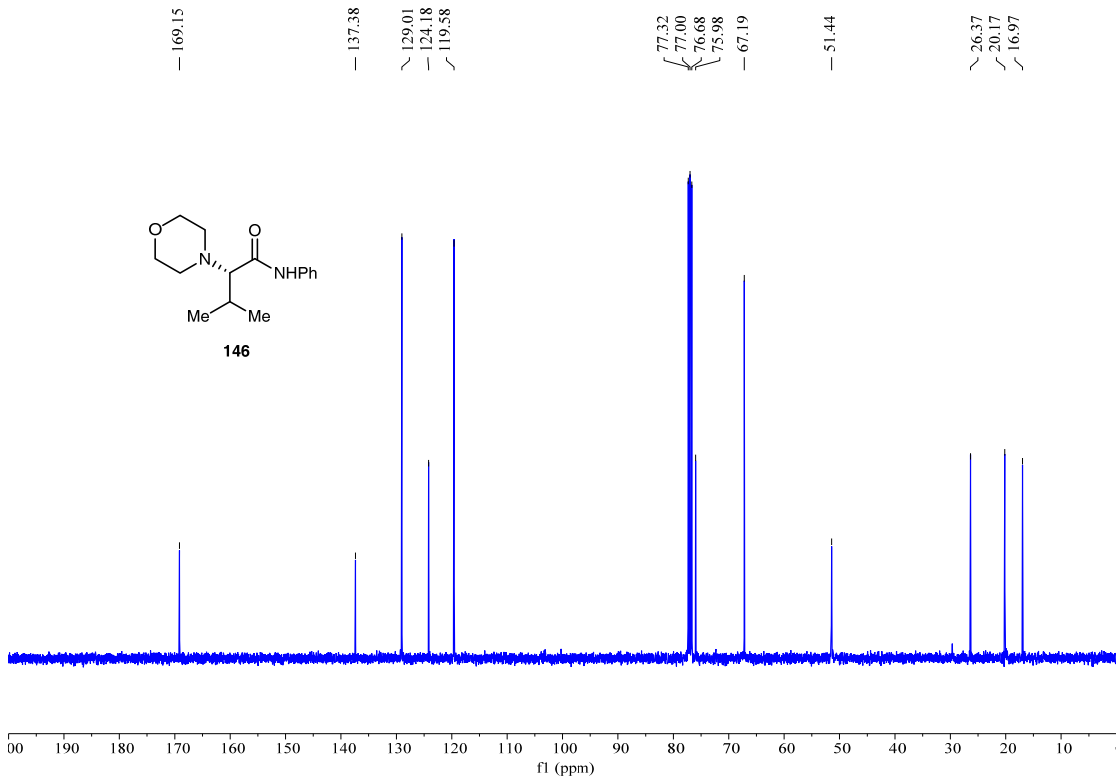
3.77 3.77 3.76 3.76 3.76 3.75 3.75 2.75 2.73 2.66 2.64 2.63 2.63 2.62 2.60 2.60 2.58 2.57 2.57 2.56 2.54 2.26 2.24 2.24 2.23 2.22 2.21 1.10 1.08 0.98 0.96 -0.00
O
N
O
NHPh
Me
Me
146
1.00
2.02
2.02
1.02
4.06
1.04
4.04
1.06
3.09
3.02
f1 (ppm)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137.38
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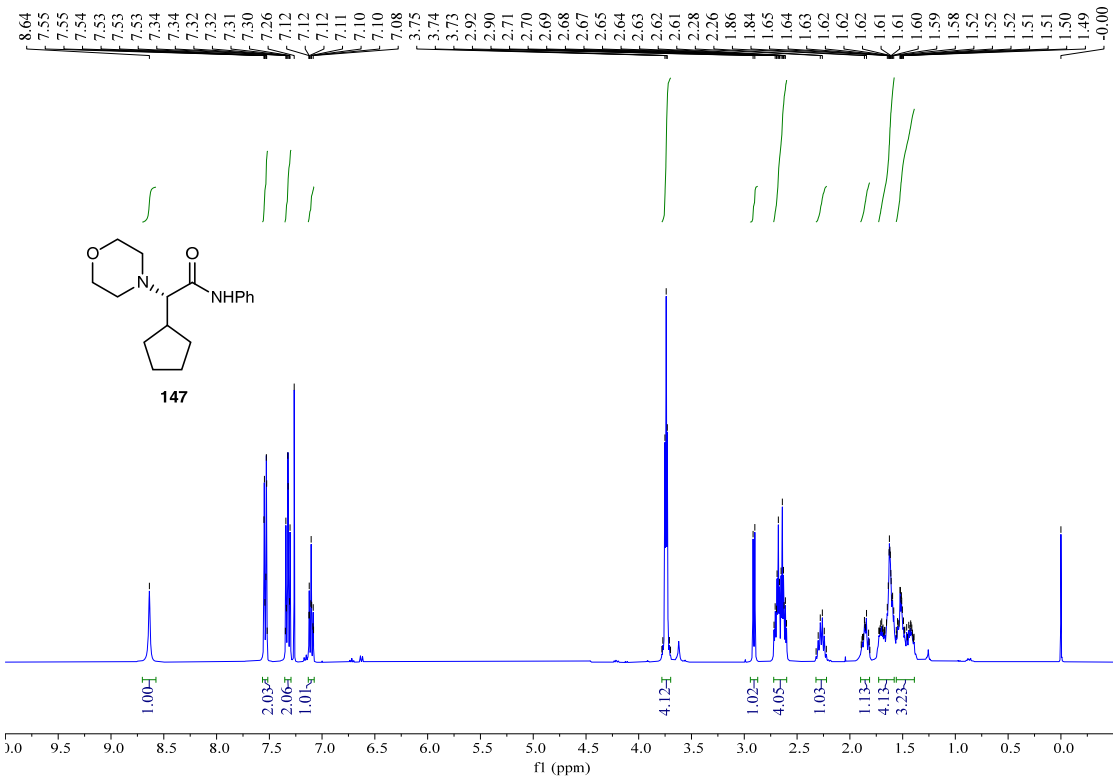
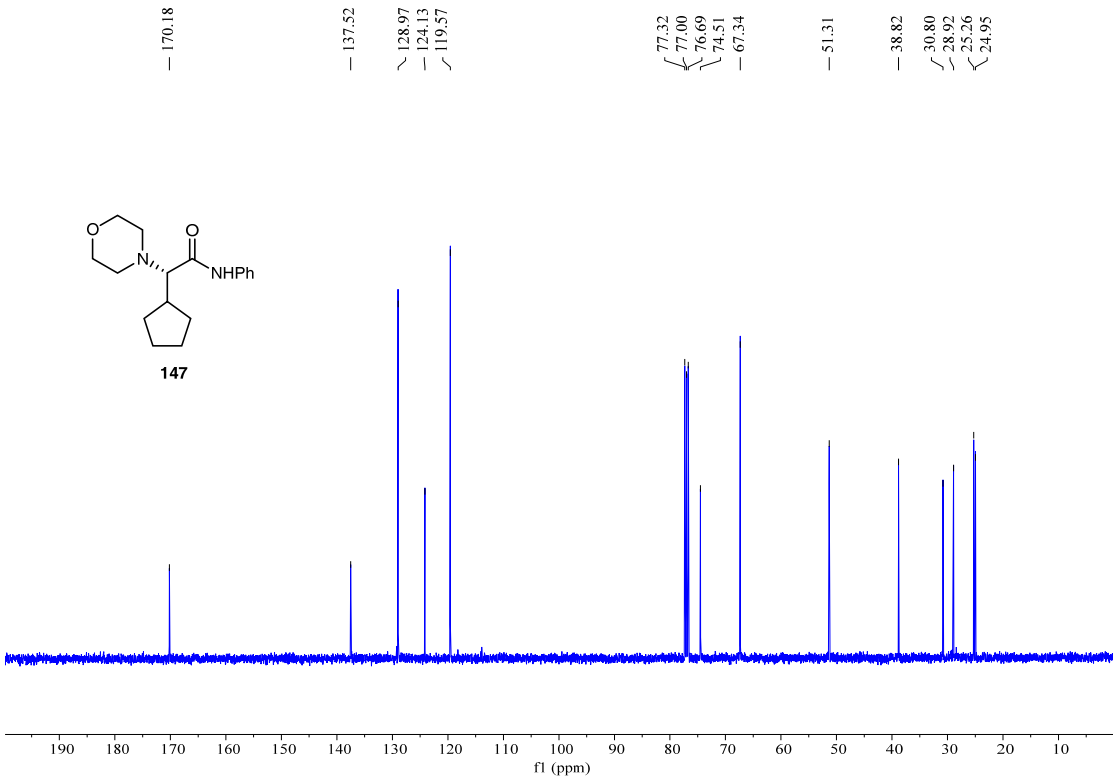
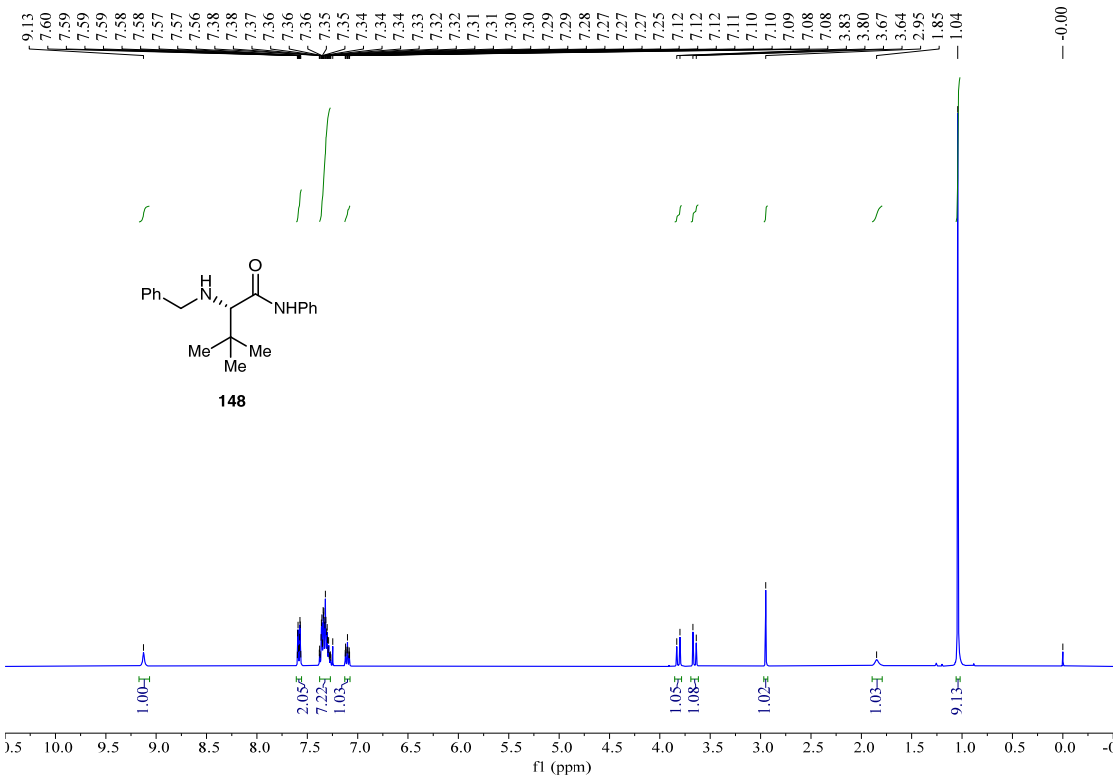
124.18
119.58
77.32
77.00
76.68
75.98
67.19
51.44
26.37
20.17
16.97
O
N
O
NHPh
Me
Me
146
f1 (ppm)


8.64 7.55 7.55 7.54 7.53 7.53 7.53 7.34 7.34 7.32 7.32 7.31 7.30 7.26 7.12 7.12 7.12 7.11 7.10 7.10 7.08 3.75 3.74 3.73 2.92 2.90 2.71 2.70 2.69 2.68 2.67 2.65 2.64 2.63 2.62 2.61 2.28 2.26 1.86 1.84 1.65 1.64 1.63 1.62 1.62 1.62 1.61 1.61 1.60 1.59 1.58 1.52 1.52 1.52 1.51 1.51 1.50 1.49 -0.00
O
N
O
NHPh
147
1.00 2.03 2.06 1.01 4.12 1.02 4.05 1.03 1.13 4.13 3.23
f1 (ppm)


170.18 137.52 128.97 124.13 119.57 77.32 77.00 76.69 74.51 67.34 51.31 38.82 30.80 28.92 25.26 24.95
O
N
O
NHPh
147
f1 (ppm)


9.13
7.60
7.59
7.59
7.59
7.58
7.58
7.57
7.57
7.56
7.38
7.38
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7.36
7.36
7.36
7.35
7.35
7.34
7.34
7.34
7.33
7.32
7.32
7.31
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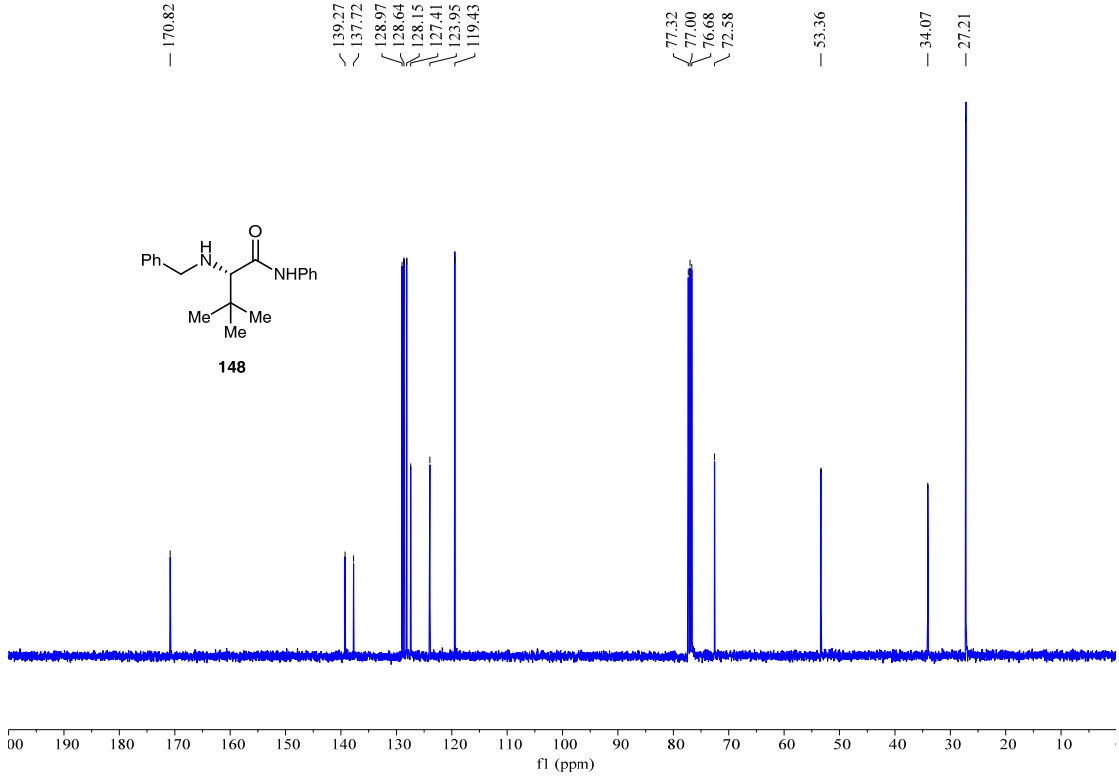
7.27
7.27
7.25
7.12
7.12
7.12
7.11
7.10
7.10
7.09
7.08
7.08
3.83
3.80
3.67
3.64
2.95
1.85
1.04
-0.00
Ph
N
H
O
NHPh
Me
Me
Me
148
1.00
2.05
7.22
1.03
1.05
1.08
1.02
1.03
9.13
f1 (ppm)


170.82
139.27
137.72
128.97
128.64
128.15
127.41
123.95
119.43
77.32
77.00
76.68
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34.07
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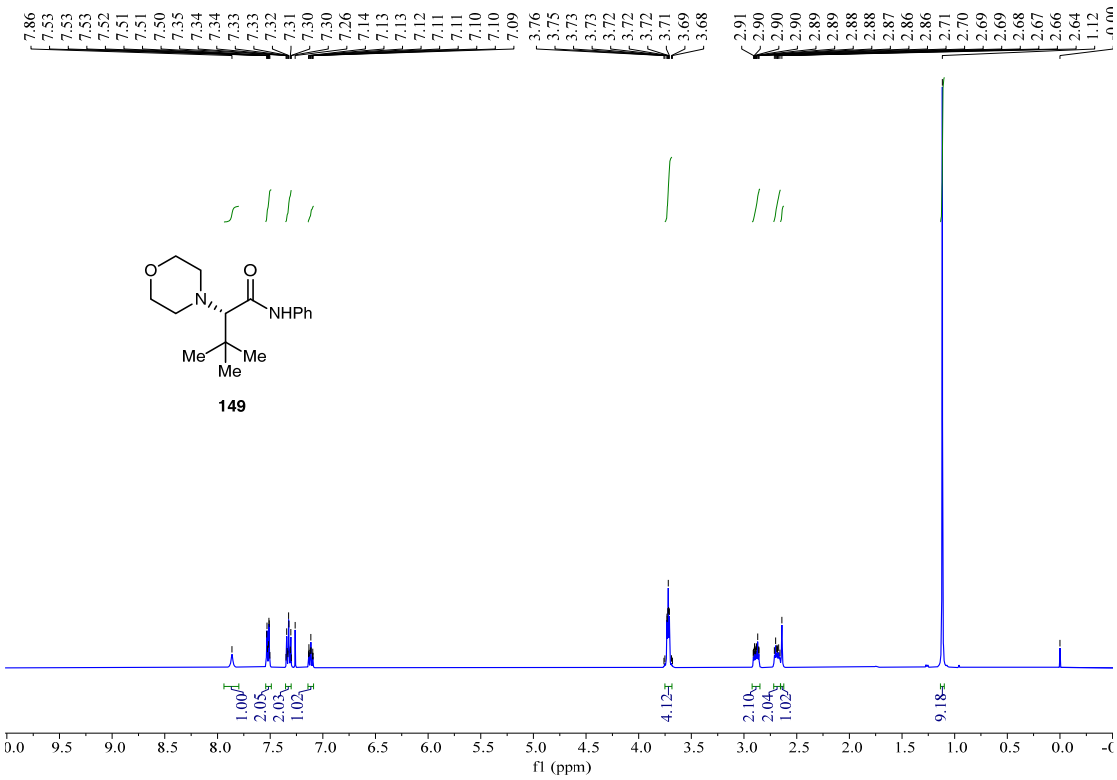
N
H
O
NHPh
Me
Me
Me
148
f1 (ppm)


7.86 7.53 7.53 7.53 7.52 7.51 7.51 7.50 7.35 7.34 7.34 7.33 7.33 7.32 7.31 7.30 7.30 7.26 7.14 7.13 7.13 7.12 7.11 7.11 7.10 7.10 7.09
3.76 3.75 3.73 3.73 3.72 3.72 3.72 3.71 3.69 3.68
2.91 2.90 2.90 2.90 2.89 2.89 2.88 2.88 2.87 2.86 2.86 2.71 2.70 2.69 2.69 2.68 2.67 2.66 2.64 1.12
O
N
O
NHPh
Me
Me
Me
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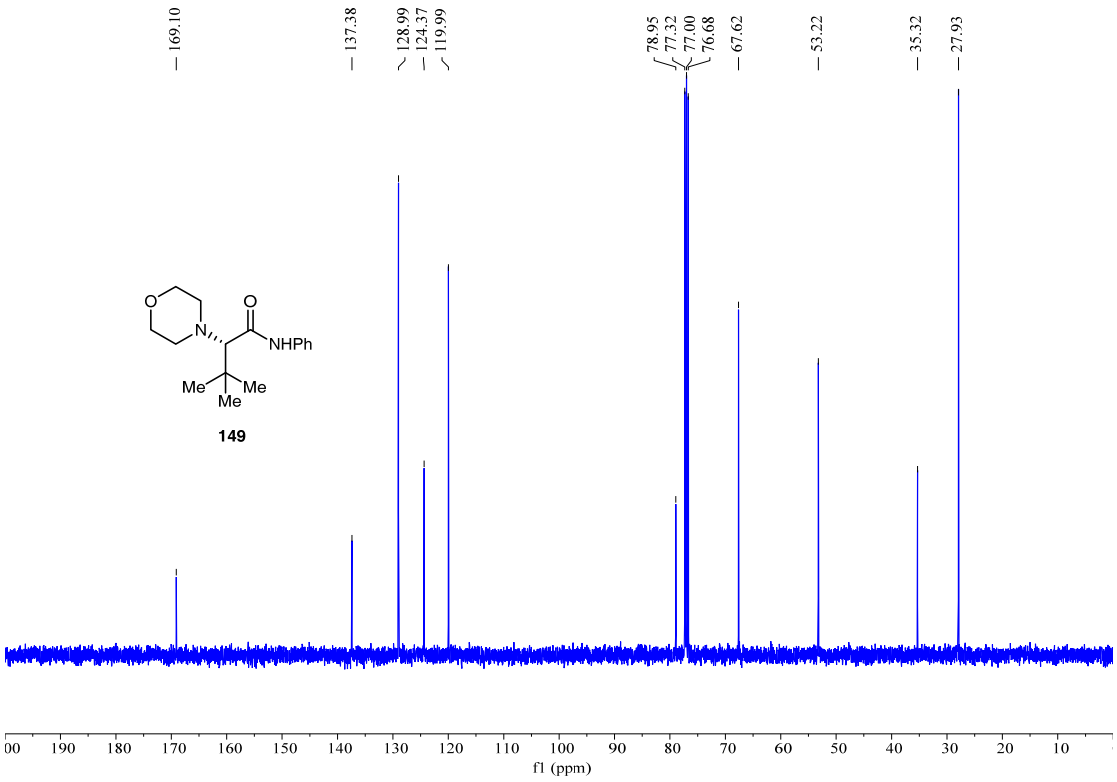
2.05
2.03
1.02
4.12
2.10
2.04
1.02
9.18
f1 (ppm)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137.38
128.99
124.37
119.99
78.95
77.32
77.00
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53.22
35.32
27.93
O
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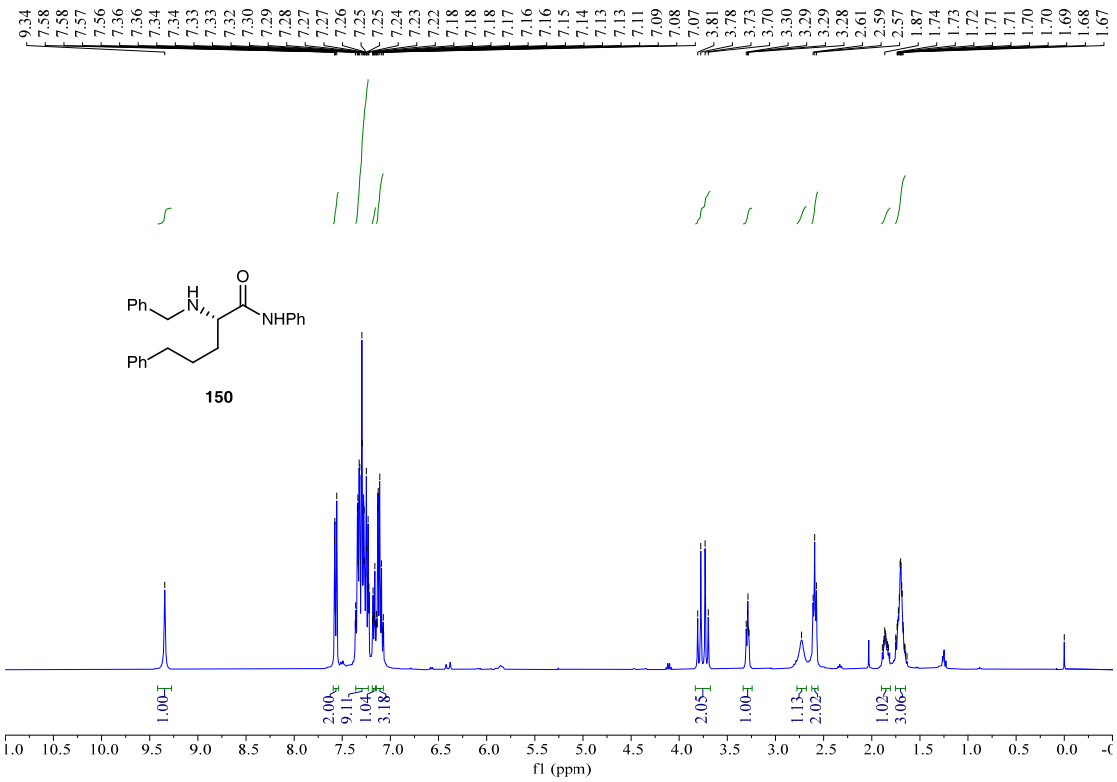
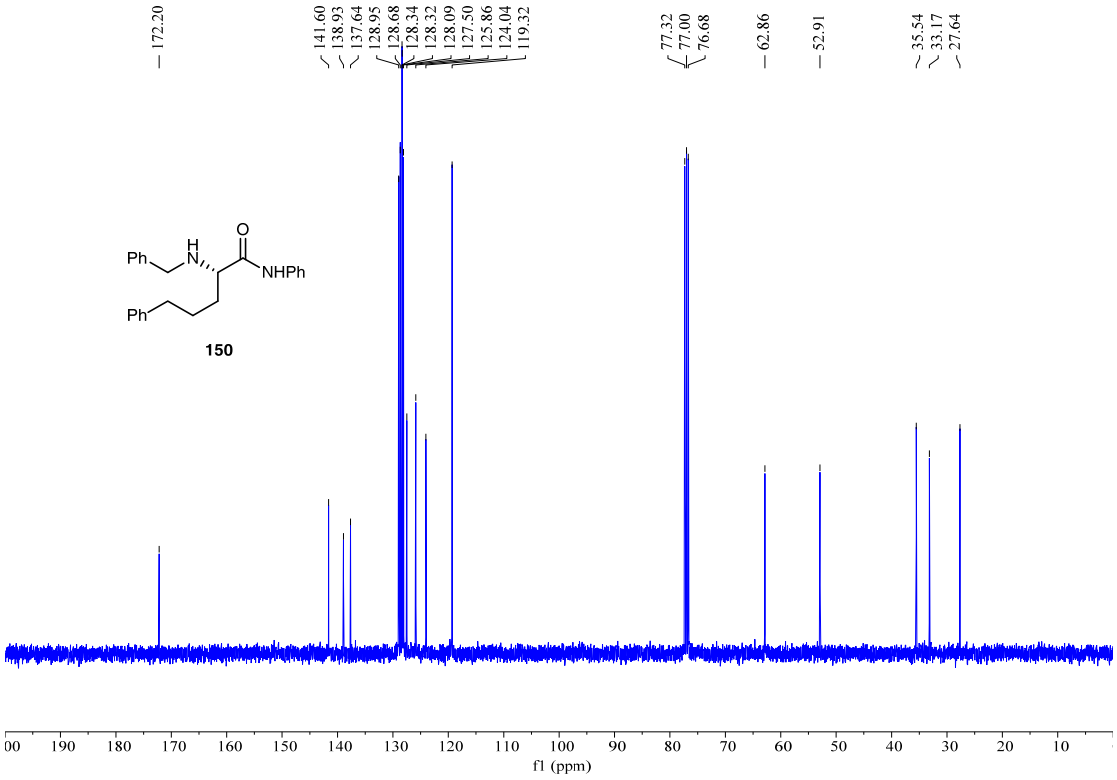
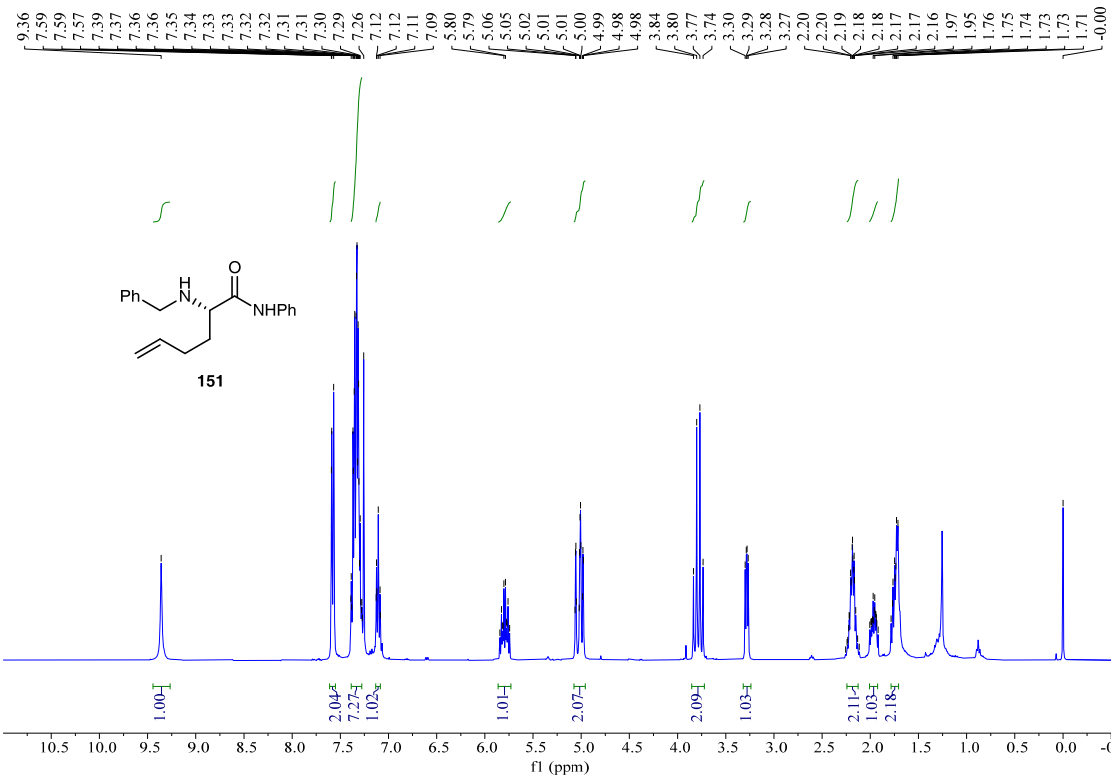
O
NHPh
Me
Me
Me
149
f1 (ppm)


9.34 7.58 7.58 7.57 7.56 7.36 7.36 7.34 7.34 7.33 7.33 7.32 7.30 7.29 7.28 7.27 7.27 7.26 7.25 7.25 7.24 7.23 7.22 7.18 7.18 7.17 7.16 7.16 7.15 7.14 7.13 7.13 7.11 7.09 7.08 7.07 3.81 3.78 3.73 3.70 3.30 3.29 3.29 3.28 2.61 2.59 2.57 1.87 1.74 1.73 1.72 1.71 1.71 1.70 1.70 1.69 1.68 1.67
Ph
N
H
O
NHPh
Ph
150
1.00 2.00 9.11 1.04 3.18 2.05 1.00 1.13 2.02 1.02 3.06
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.20
141.60 138.93 137.64 128.95 128.68 128.34 128.32 128.09 127.50 125.86 124.04 119.32
77.32 77.00 76.68
62.86
52.91
35.54 33.17 27.64
Ph
N
H
O
NHPh
Ph
150
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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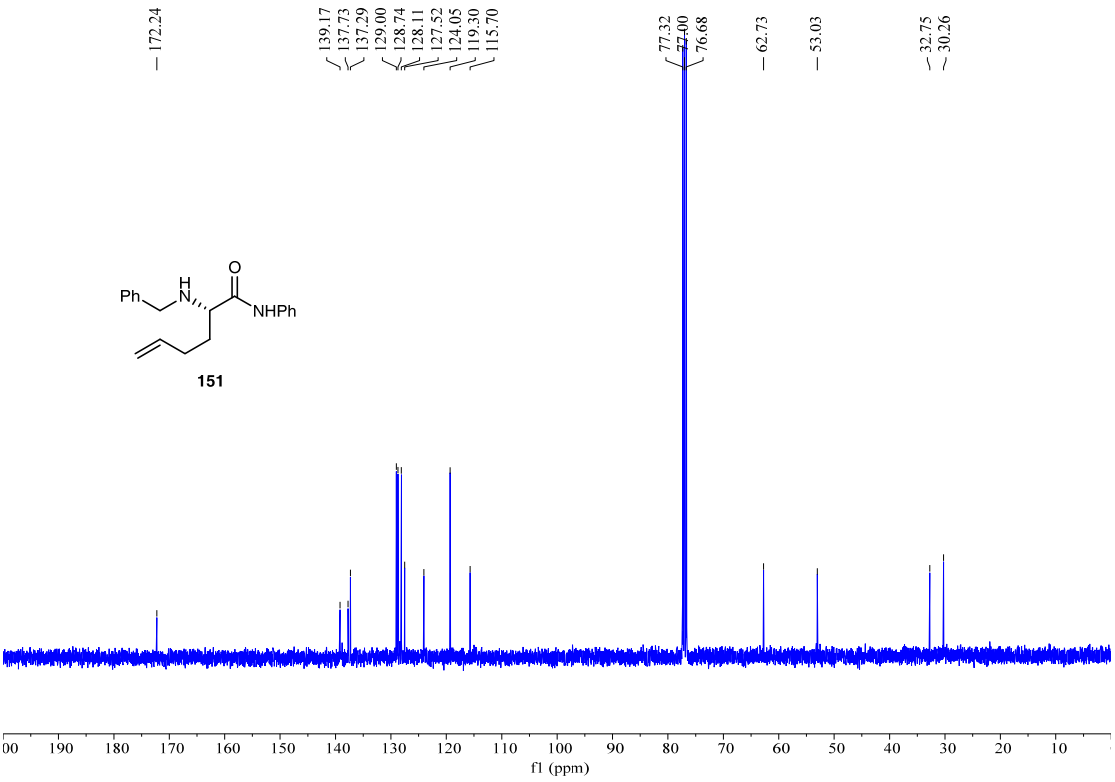
7.59
7.59
7.57
7.39
7.37
7.36
7.36
7.35
7.34
7.33
7.33
7.32
7.32
7.31
7.31
7.30
7.29
7.26
7.12
7.12
7.11
7.09
5.80
5.79
5.06
5.05
5.02
5.01
5.01
5.00
4.99
4.98
4.98
3.84
3.80
3.77
3.74
3.30
3.29
3.28
3.27
2.20
2.20
2.19
2.18
2.18
2.17
2.17
2.16
1.97
1.95
1.76
1.75
1.74
1.73
1.73
1.71
-0.00
Ph
N
H
O
NHPh
151
1.00
2.04
7.27
1.02
1.01
2.07
2.09
1.03
2.11
1.03
2.18
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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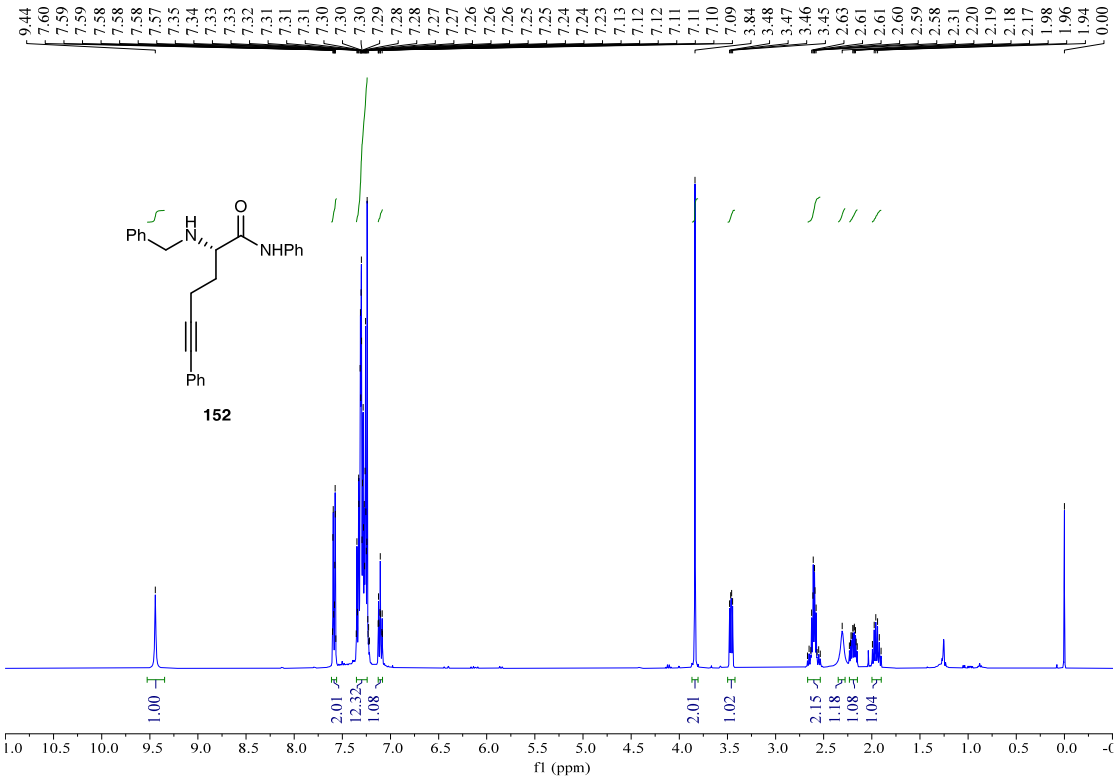
137.73
137.29
129.00
128.74
128.11
127.52
124.05
119.30
115.70
77.32
77.00
76.68
62.73
53.03
32.75
30.26
Ph
N
H
O
NHPh
151
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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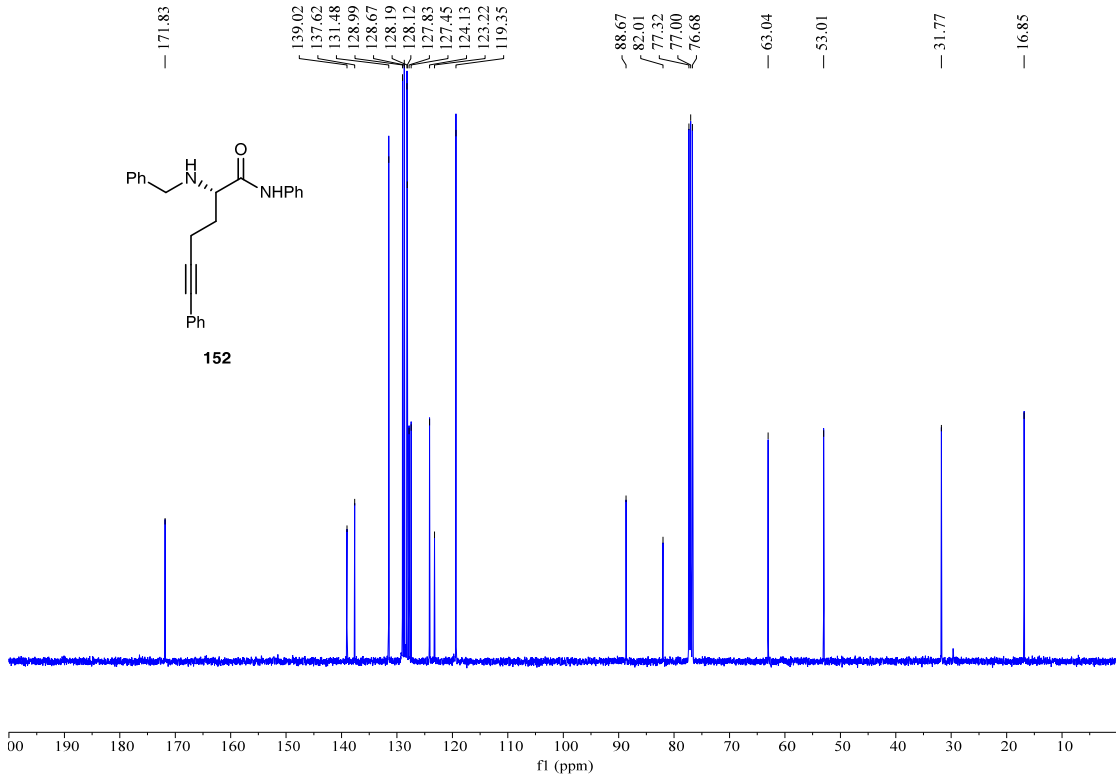
Ph
H
N
O
NHPh
Ph
152
1.00
2.01
12.32
1.08
2.01
1.02
2.15
1.18
1.08
1.04
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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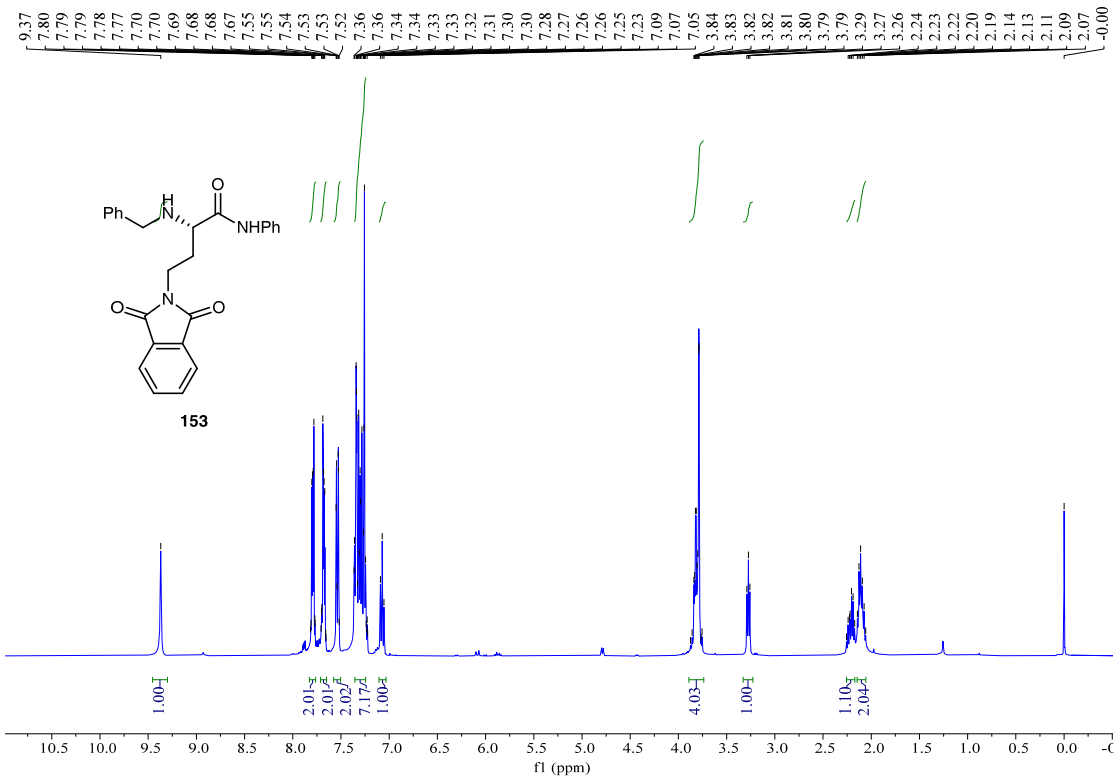
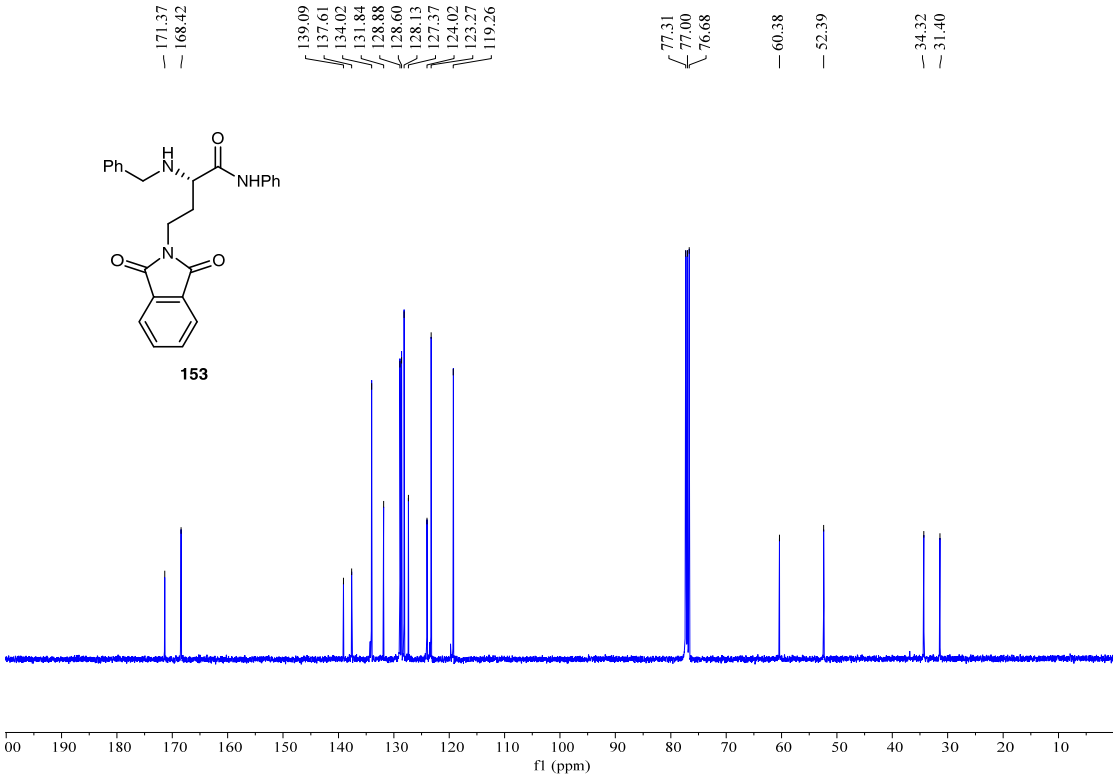
139.02
137.62
131.48
128.99
128.67
128.19
128.12
127.83
127.45
124.13
123.22
119.35
88.67
82.01
77.32
77.00
76.68
63.04
53.01
31.77
16.85
Ph
H
N
O
NHPh
Ph
152
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


9.37 7.80 7.79 7.79 7.78 7.77 7.70 7.70 7.69 7.68 7.68 7.67 7.55 7.55 7.54 7.53 7.53 7.52 7.36 7.36 7.34 7.34 7.33 7.33 7.32 7.31 7.30 7.30 7.28 7.27 7.26 7.26 7.25 7.23 7.09 7.07 7.05 3.84 3.83 3.82 3.82 3.81 3.80 3.79 3.79 3.29 3.27 3.26 2.24 2.23 2.22 2.20 2.19 2.14 2.13 2.11 2.09 2.07 -0.00
Ph
NHPh
153
1.00 2.01 2.01 2.02 7.17 1.00 4.03 1.00 1.10 2.04
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


171.37 168.42 139.09 137.61 134.02 131.84 128.88 128.60 128.13 127.37 124.02 123.27 119.26 77.31 77.00 76.68 60.38 52.39 34.32 31.40
Ph
NHPh
153
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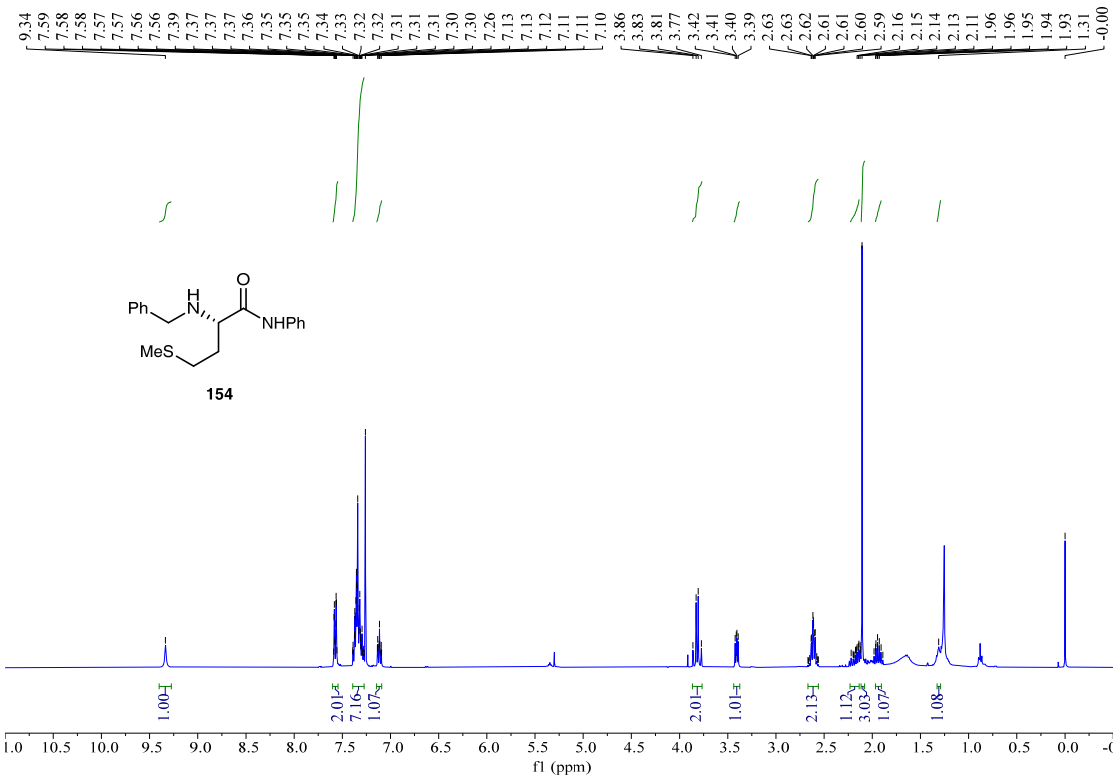
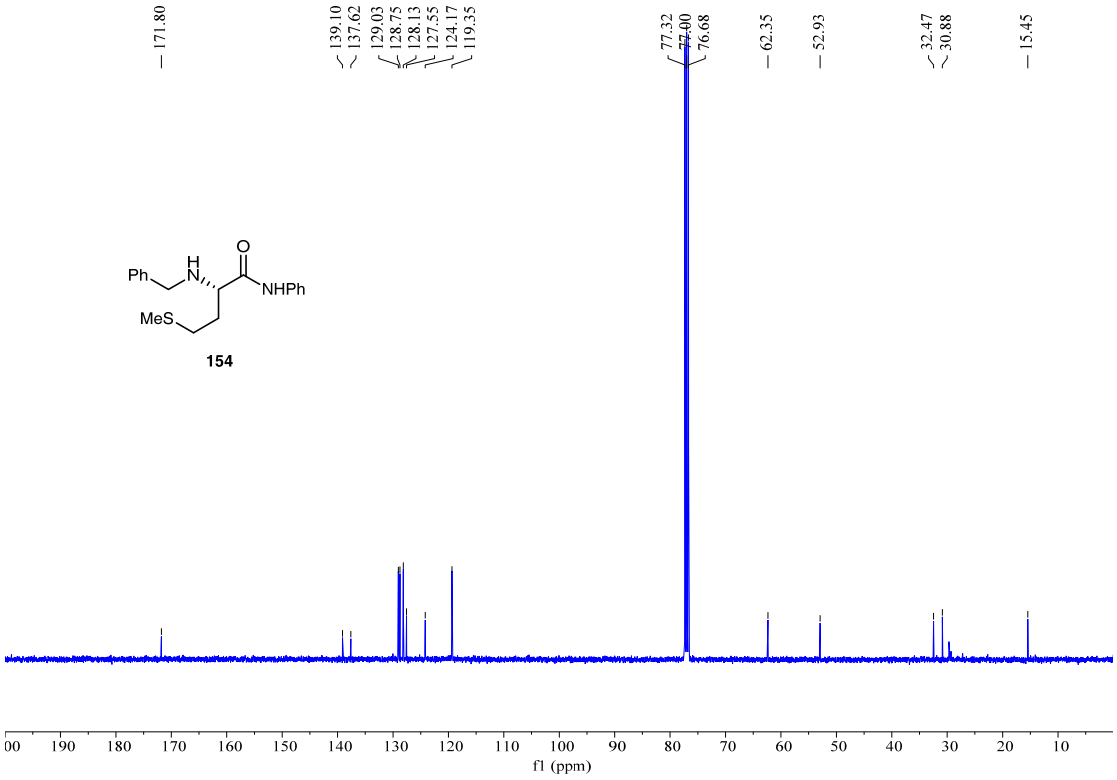
f1 (ppm)


9.34 7.59 7.58 7.58 7.57 7.57 7.56 7.56 7.39 7.37 7.37 7.37 7.36 7.35 7.35 7.35 7.34 7.33 7.32 7.32 7.31 7.31 7.31 7.30 7.30 7.26 7.13 7.13 7.12 7.11 7.11 7.10 3.86 3.83 3.81 3.77 3.42 3.41 3.40 3.39 2.63 2.63 2.62 2.61 2.61 2.60 2.59 2.16 2.15 2.14 2.13 2.11 1.96 1.96 1.95 1.94 1.93 1.31 -0.00
Ph
N
H
O
NHPh
MeS
154
1.00 2.01 7.16 1.07 2.01 1.01 2.13 1.12 3.03 1.07 1.08
f1 (ppm)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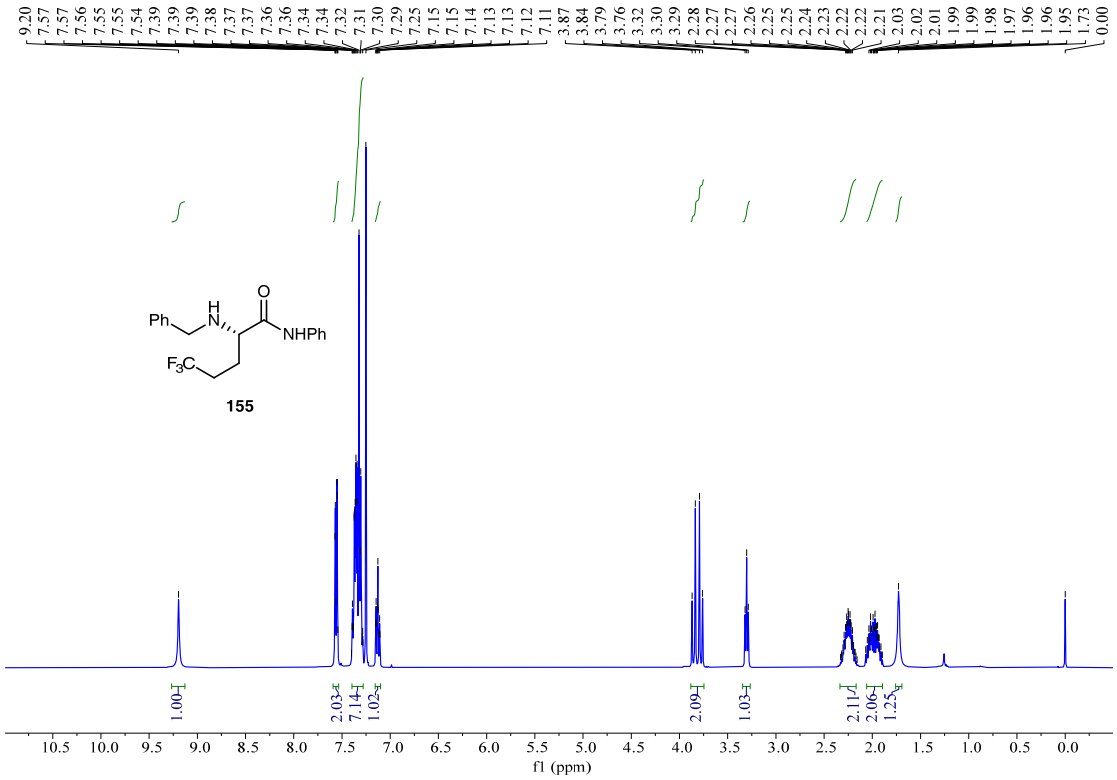
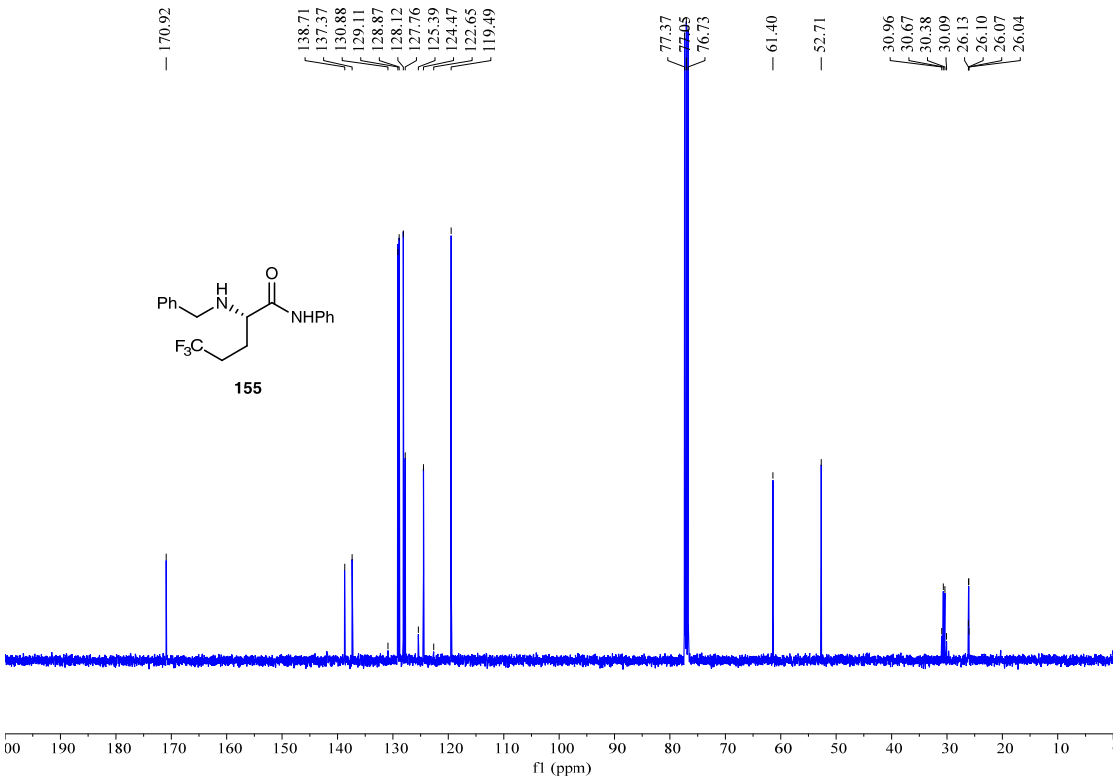
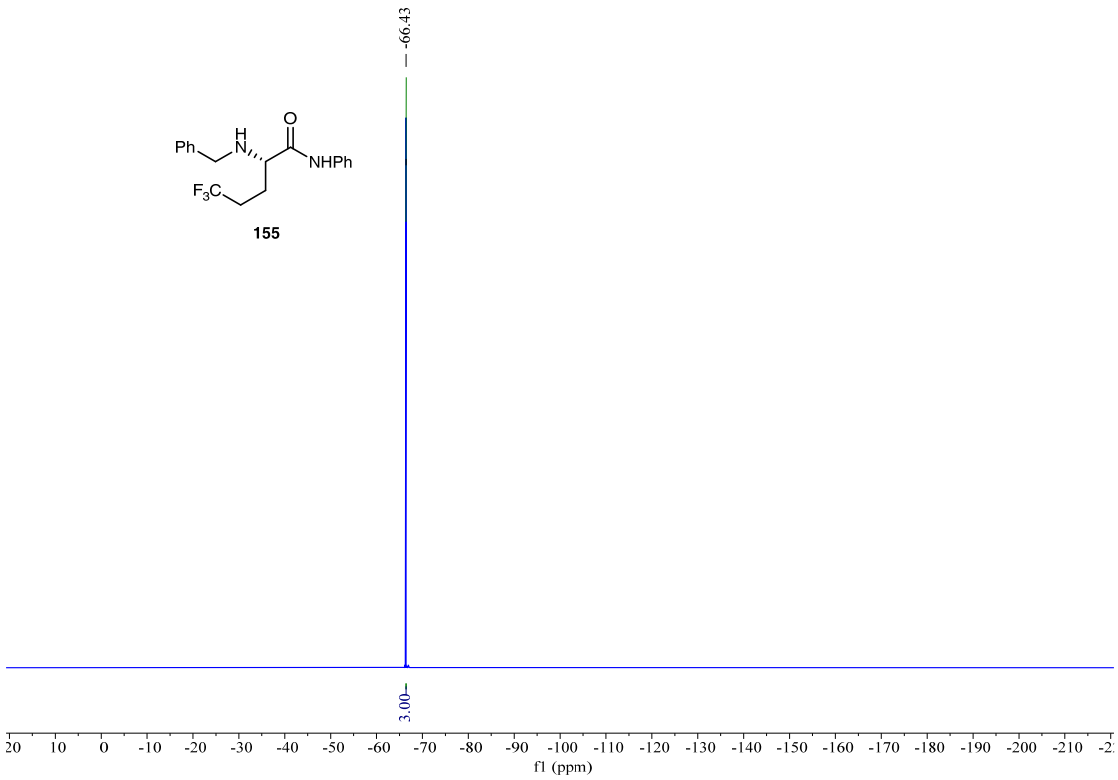
139.10 137.62 129.03 128.75 128.13 127.55 124.17 119.35
77.32 77.00 76.68
62.35
52.93
32.47 30.88
15.45
Ph
N
H
O
NHPh
MeS
154
f1 (ppm)


9.20 7.57 7.57 7.56 7.55 7.55 7.54 7.39 7.39 7.39 7.38 7.37 7.37 7.36 7.36 7.34 7.34 7.32 7.31 7.30 7.29 7.25 7.15 7.15 7.14 7.13 7.13 7.12 7.11
3.87 3.84 3.79 3.76 3.32 3.30 3.29 2.28 2.27 2.27 2.26 2.25 2.25 2.24 2.23 2.22 2.22 2.21 2.03 2.02 2.01 1.99 1.99 1.98 1.97 1.96 1.96 1.95 1.73 0.00
Ph
N
H
O
NHPh
F3C
155
1.00 2.03 7.14 1.02 2.09 1.03 2.11 2.06 1.25
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


170.92
138.71 137.37 130.88 129.11 128.87 128.12 127.76 125.39 124.47 122.65 119.49
77.37 77.05 76.73
61.40
52.71
30.96 30.67 30.38 30.09 26.13 26.10 26.07 26.04
Ph
N
H
O
NHPh
F3C
155
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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Ph
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O
NHPh
F3C
155
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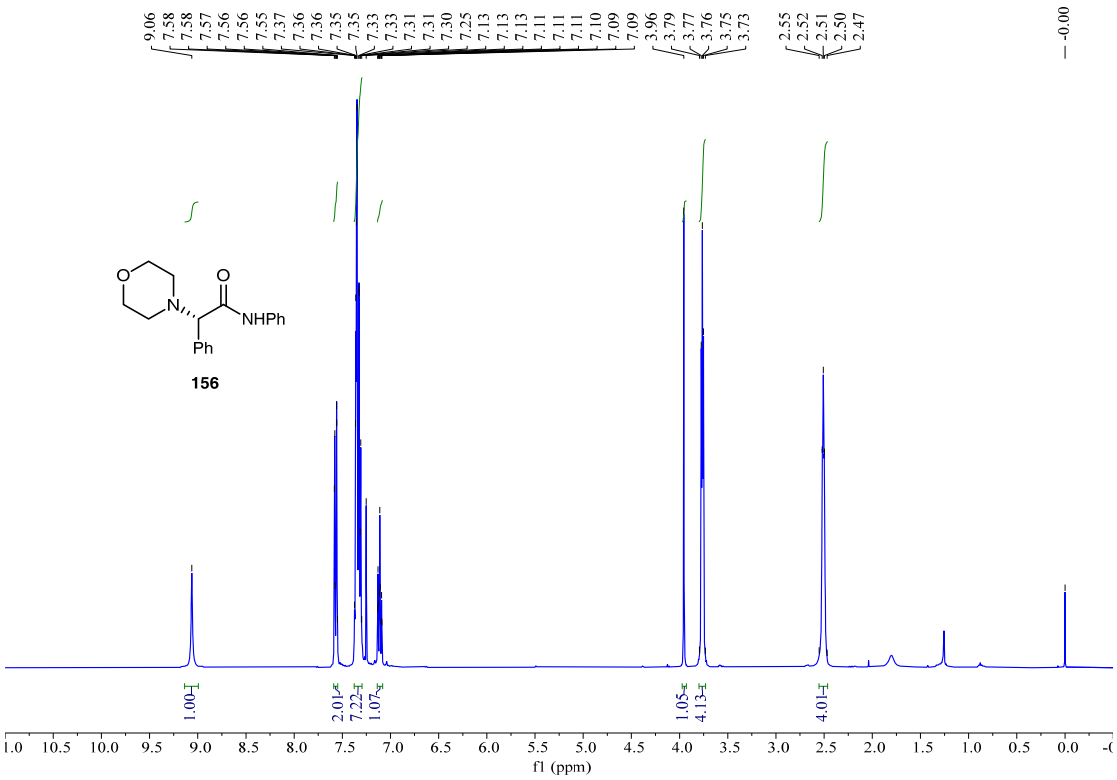
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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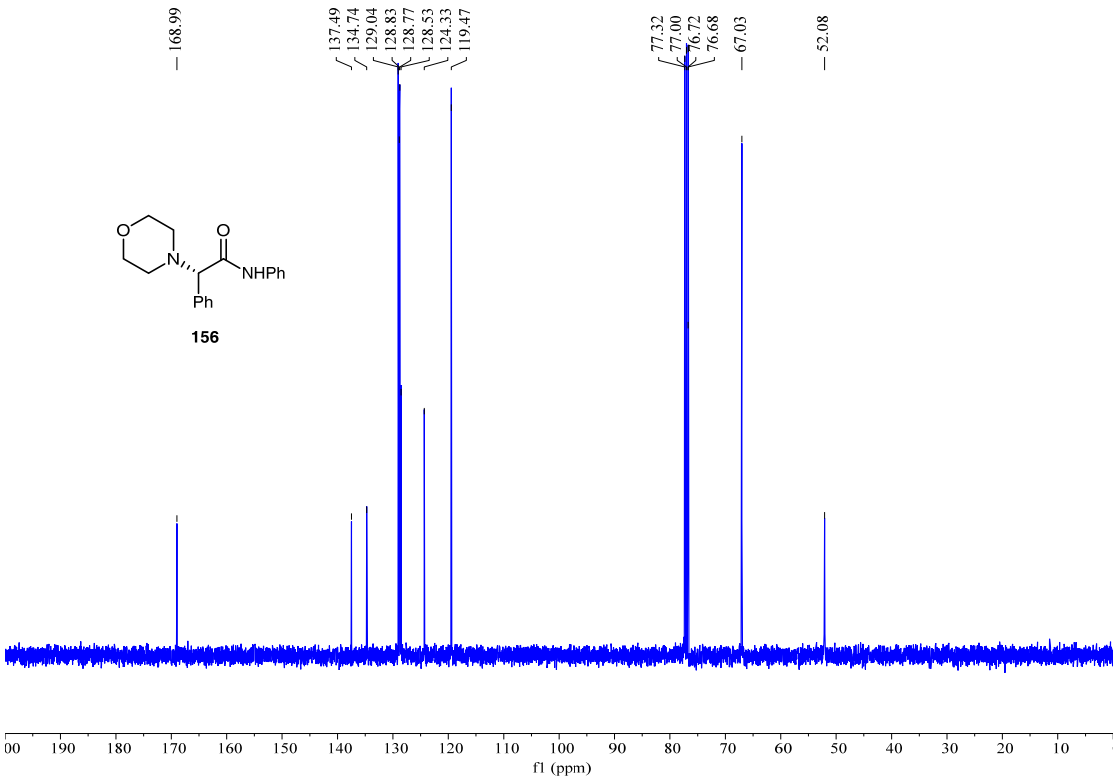
7.33
7.31
7.31
7.30
7.25
7.13
7.13
7.13
7.11
7.11
7.11
7.10
7.09
7.09
3.96
3.79
3.77
3.76
3.75
3.73
2.55
2.52
2.51
2.50
2.47
-0.00
O
N
O
NHPh
Ph
156
1.00
2.01
7.22
1.07
1.05
4.13
4.01
fl (ppm)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128.83
128.77
128.53
124.33
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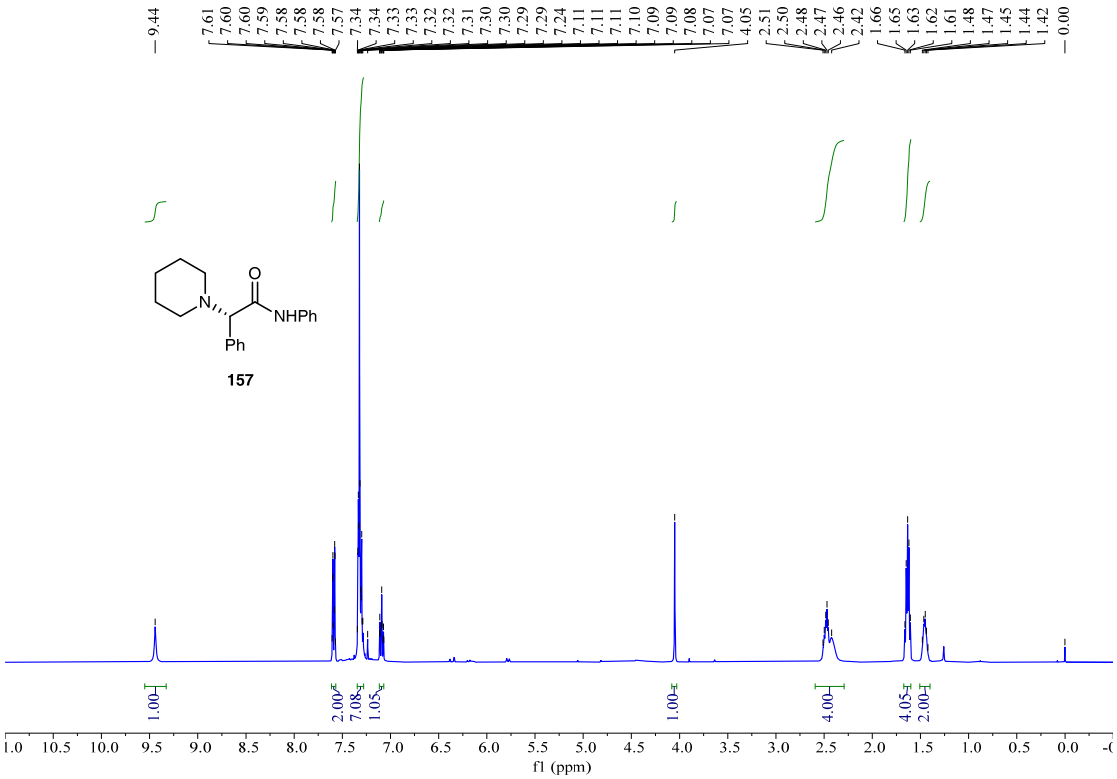
77.00
76.72
76.68
67.03
52.08
O
N
O
NHPh
Ph
156
fl (ppm)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7.33
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7.32
7.31
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7.11
7.10
7.09
7.09
7.08
7.07
7.07
4.05
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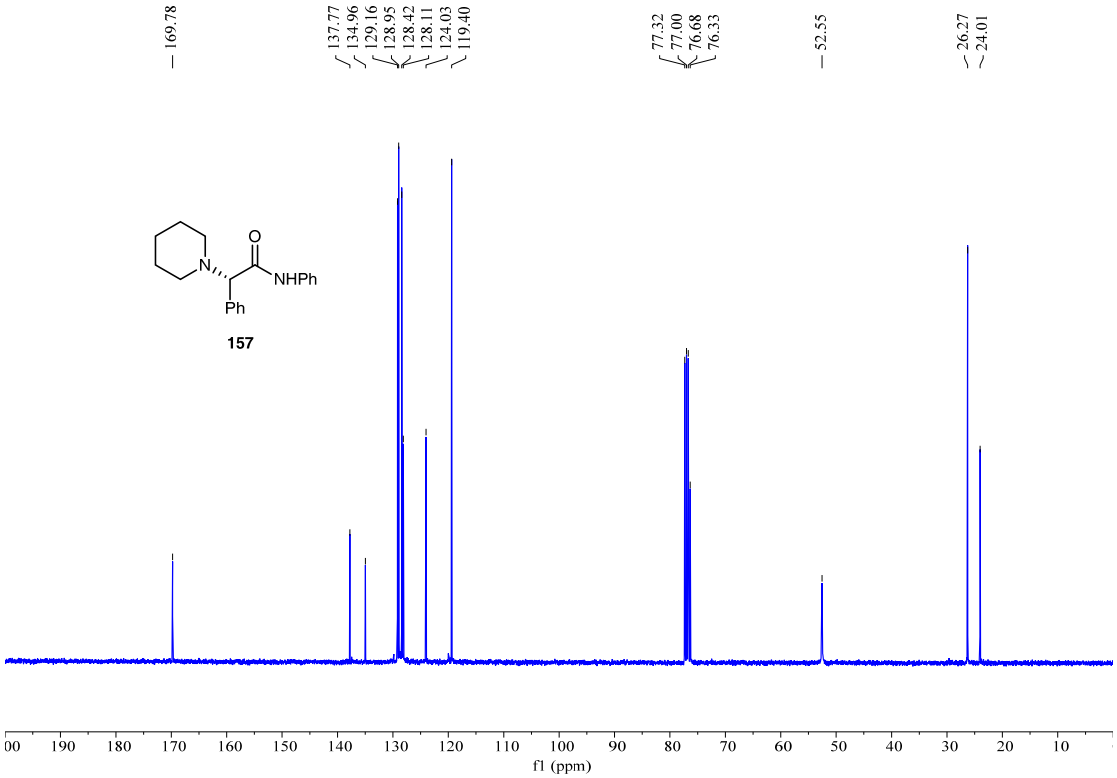
2.50
2.48
2.47
2.46
2.42
1.66
1.65
1.63
1.62
1.61
1.48
1.47
1.45
1.44
1.42
0.00
N
O
NHPh
Ph
157
1.00
2.00
7.08
1.05
1.00
4.00
4.05
2.00
f1 (ppm)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128.95
128.42
128.11
124.03
119.40
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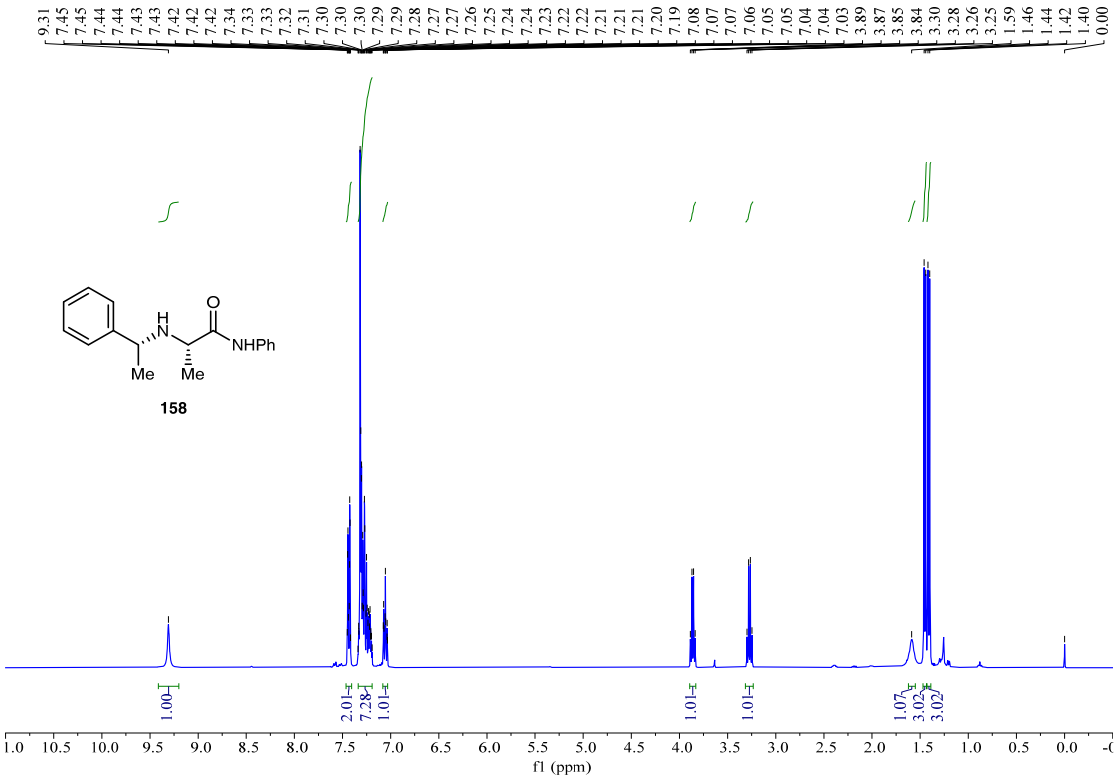
77.00
76.68
76.33
52.55
26.27
24.01
N
O
NHPh
Ph
157
f1 (ppm)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NHPh
Me
Me
158
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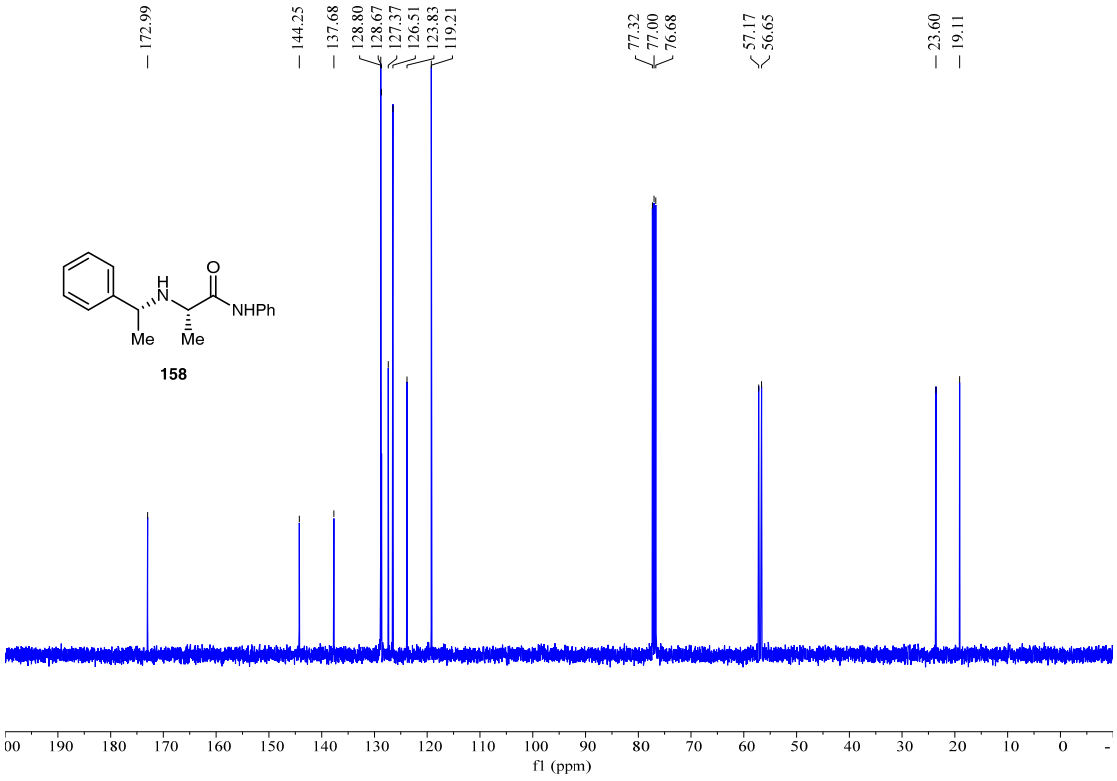
2.01
7.28
1.01
1.01
1.01
1.07
3.02
3.02
f1 (ppm)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126.51
123.83
119.21
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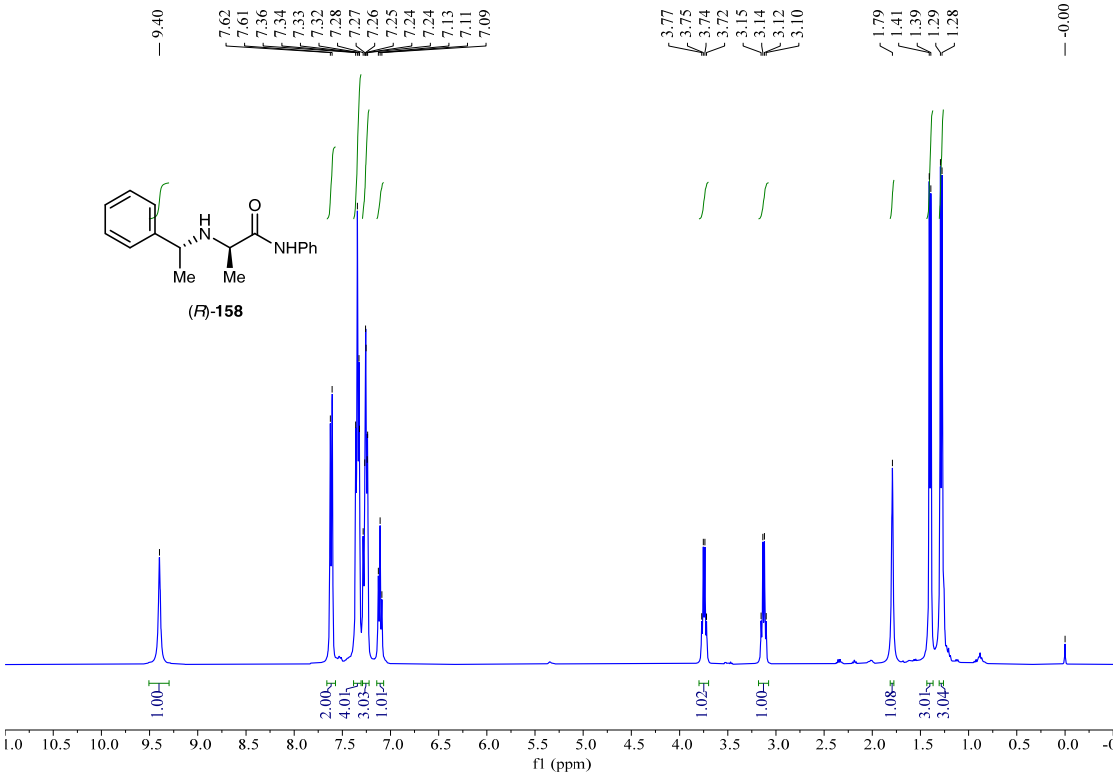
77.00
76.68
57.17
56.65
23.60
19.11
NHPh
Me
Me
158
f1 (ppm)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7.61
7.36
7.34
7.33
7.32
7.28
7.27
7.26
7.25
7.24
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7.13
7.11
7.09
3.77
3.75
3.74
3.72
3.15
3.14
3.12
3.10
1.79
1.41
1.39
1.29
1.28
-0.00
NHPh
Me
Me
(R)-158
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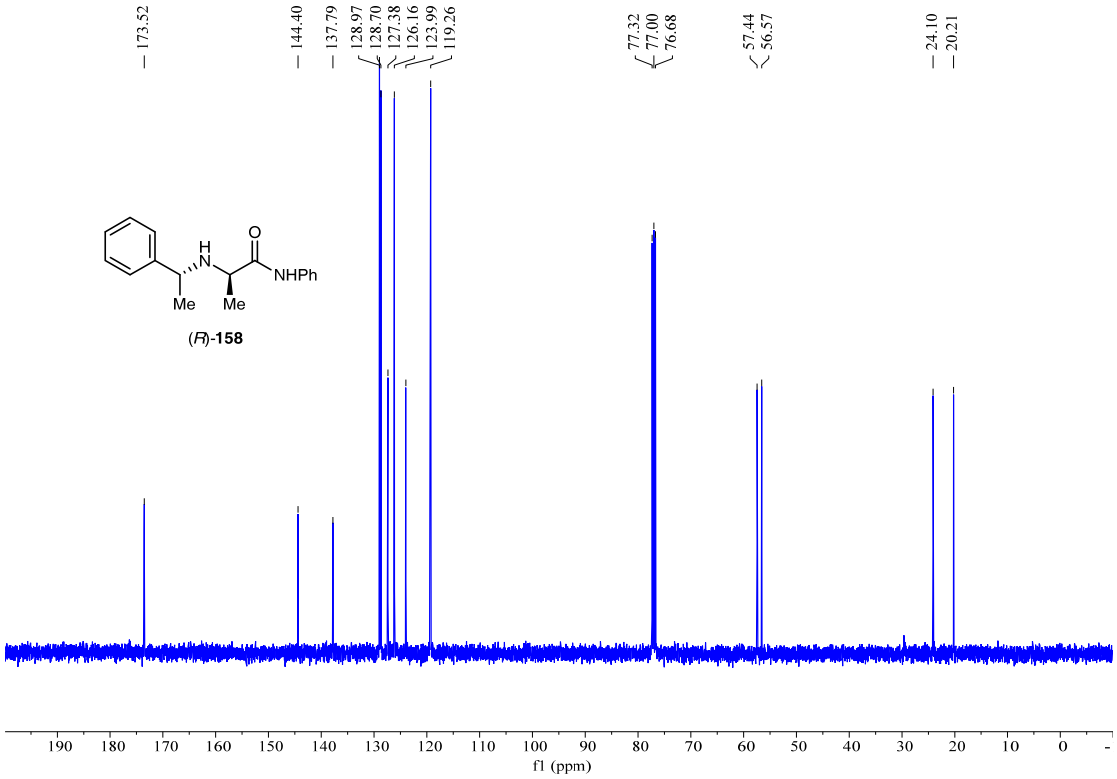
4.01
3.03
1.01
1.02
1.00
1.08
3.01
3.04
fl (ppm)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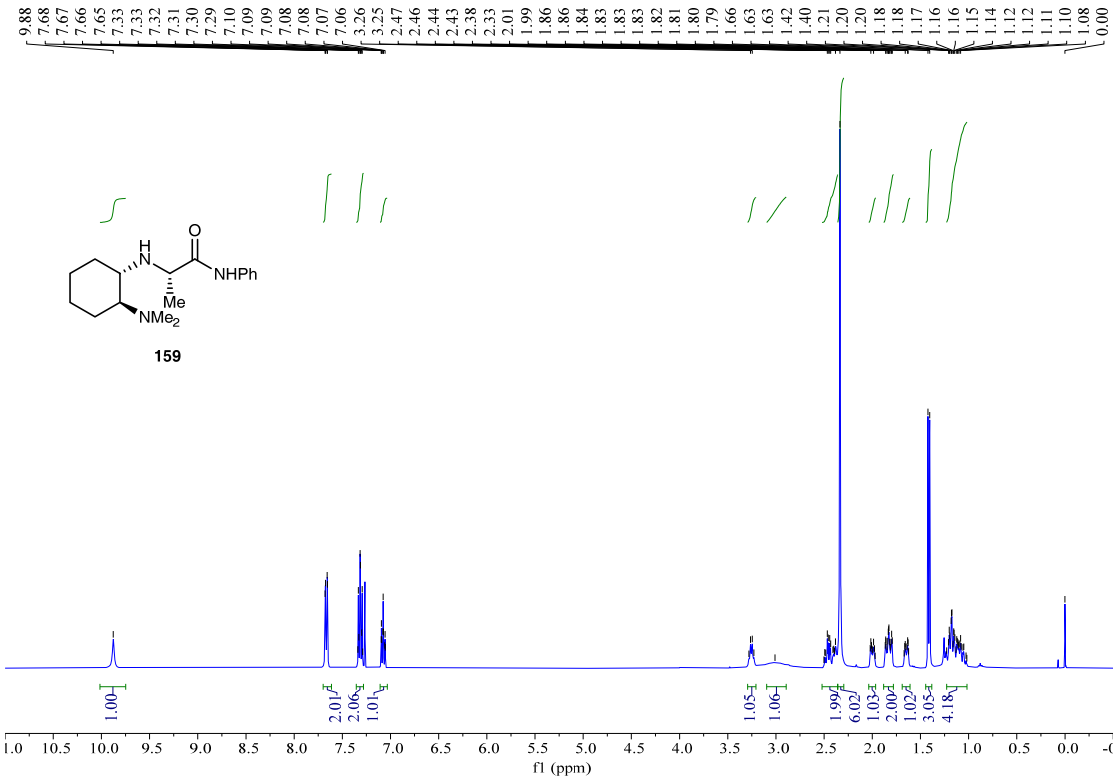
127.38
126.16
123.99
119.26
77.32
77.00
76.68
57.44
56.57
24.10
20.21
NHPh
Me
Me
(R)-158
fl (ppm)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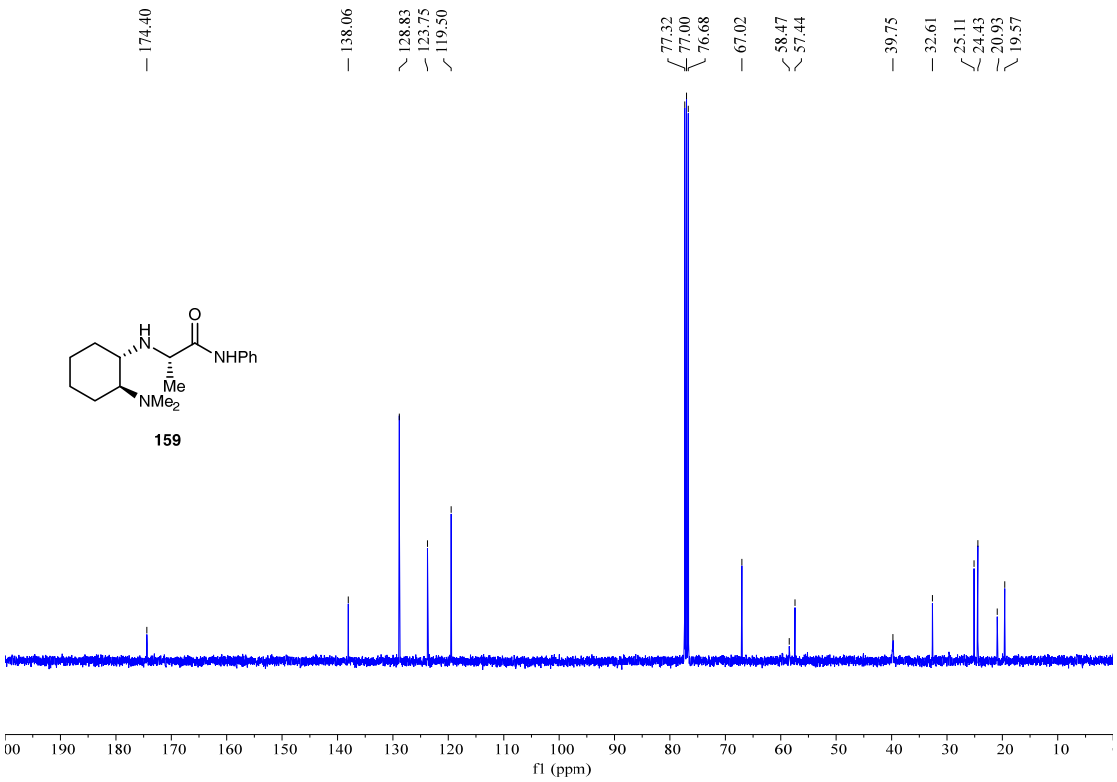
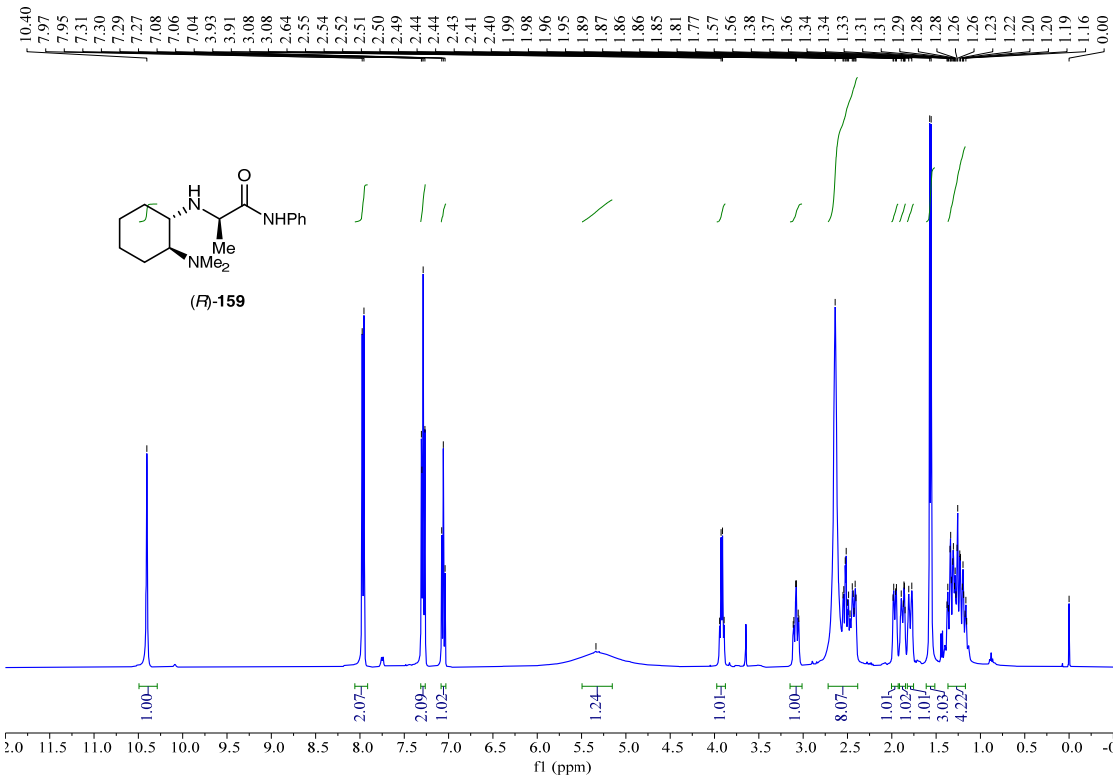
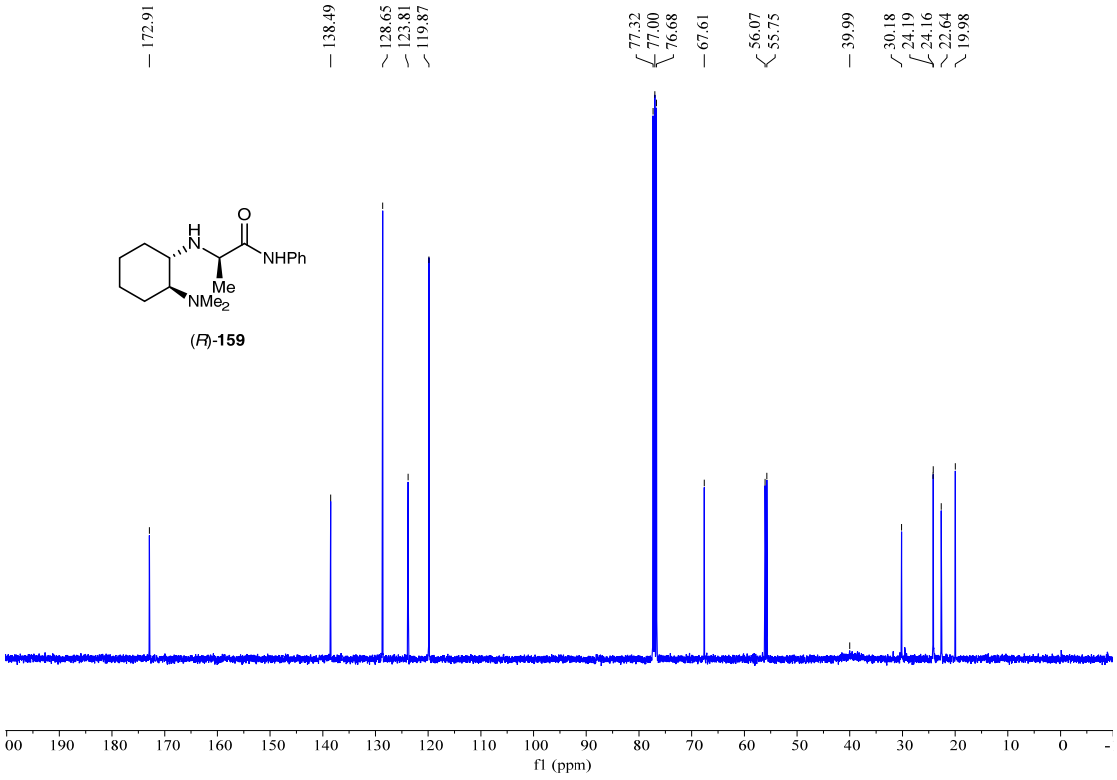
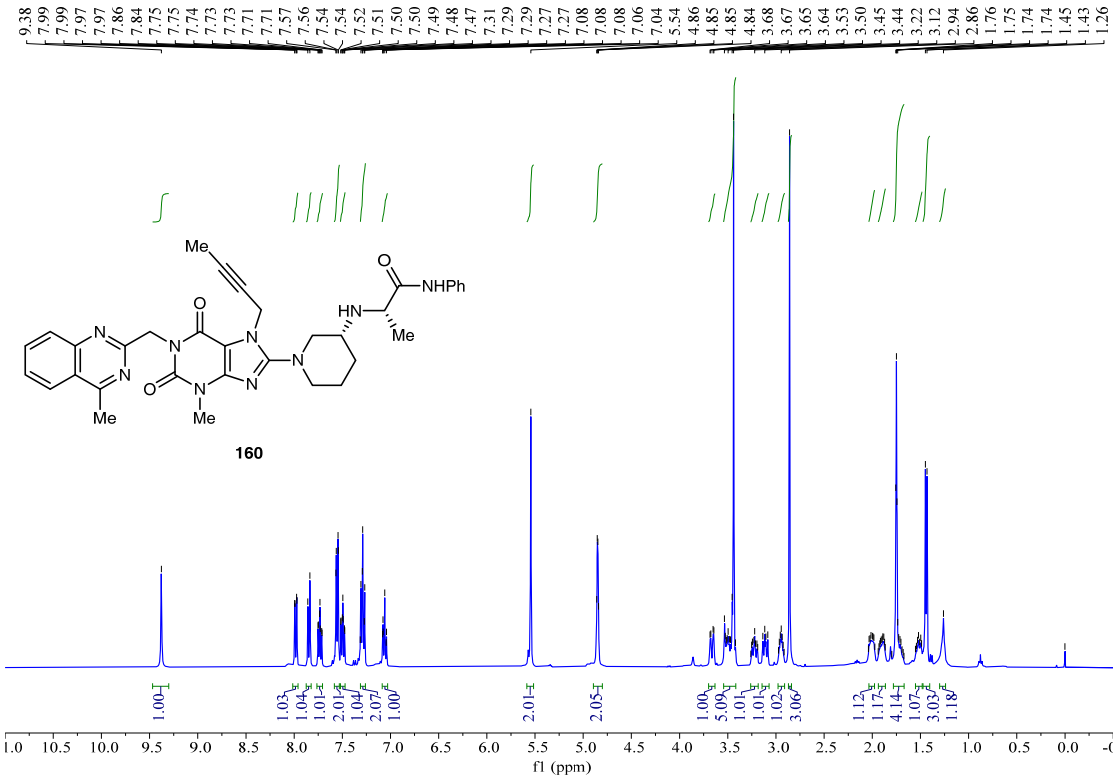
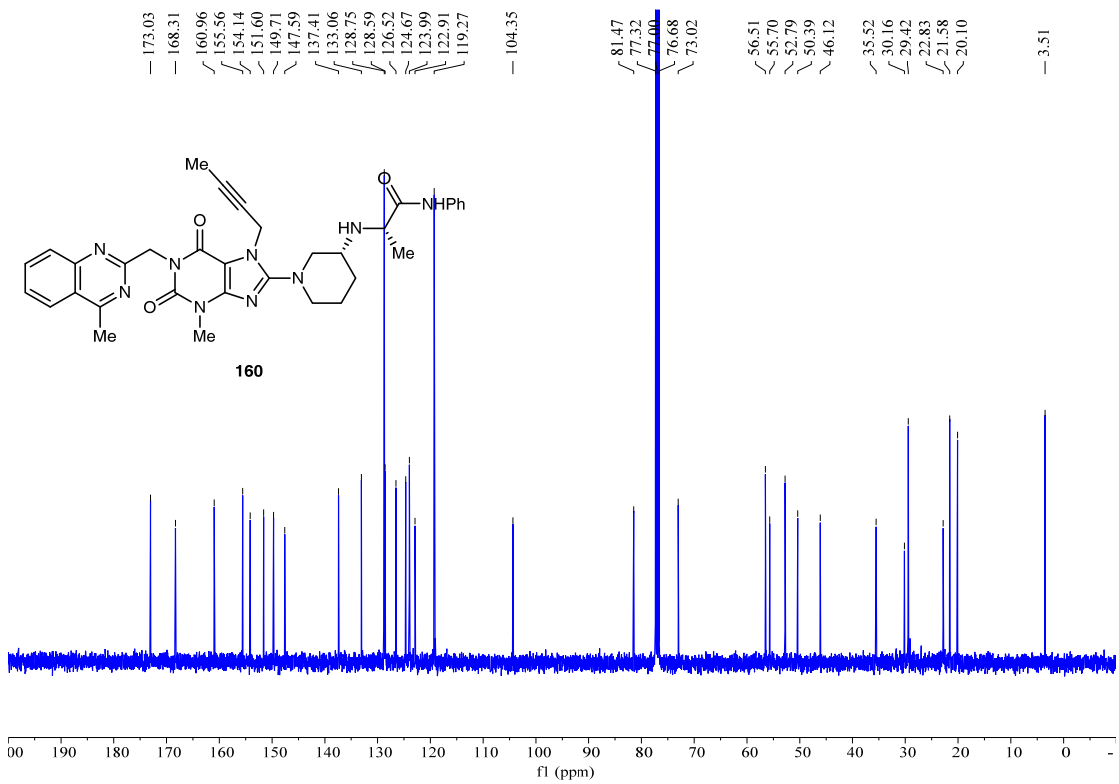
O
H
N
NHPh
Me
NMe2
159
1.00
2.01
2.06
1.01
1.05
1.06
1.99
6.02
1.03
2.00
1.02
3.05
4.18
f1 (ppm)

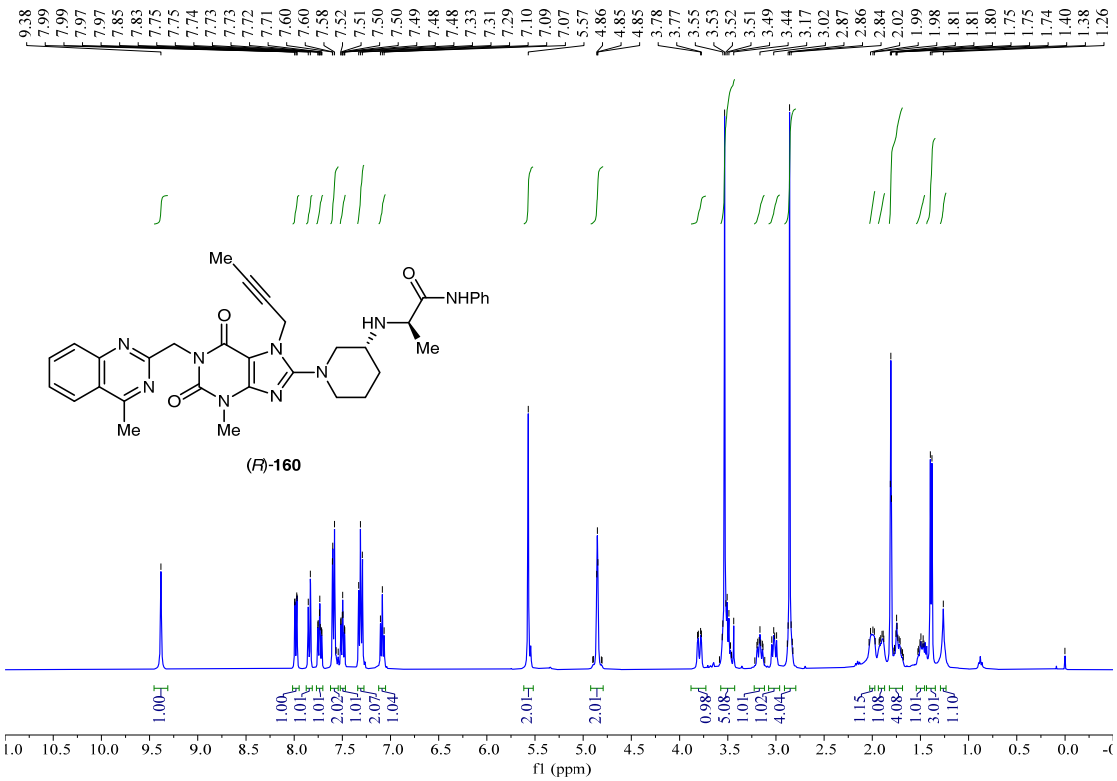

174.40
138.06
128.83
123.75
119.50
77.32
77.00
76.68
67.02
58.47
57.44
39.75
32.61
25.11
24.43
20.93
19.57
O
H
N
NHPh
Me
NMe2
159
f1 (ppm)

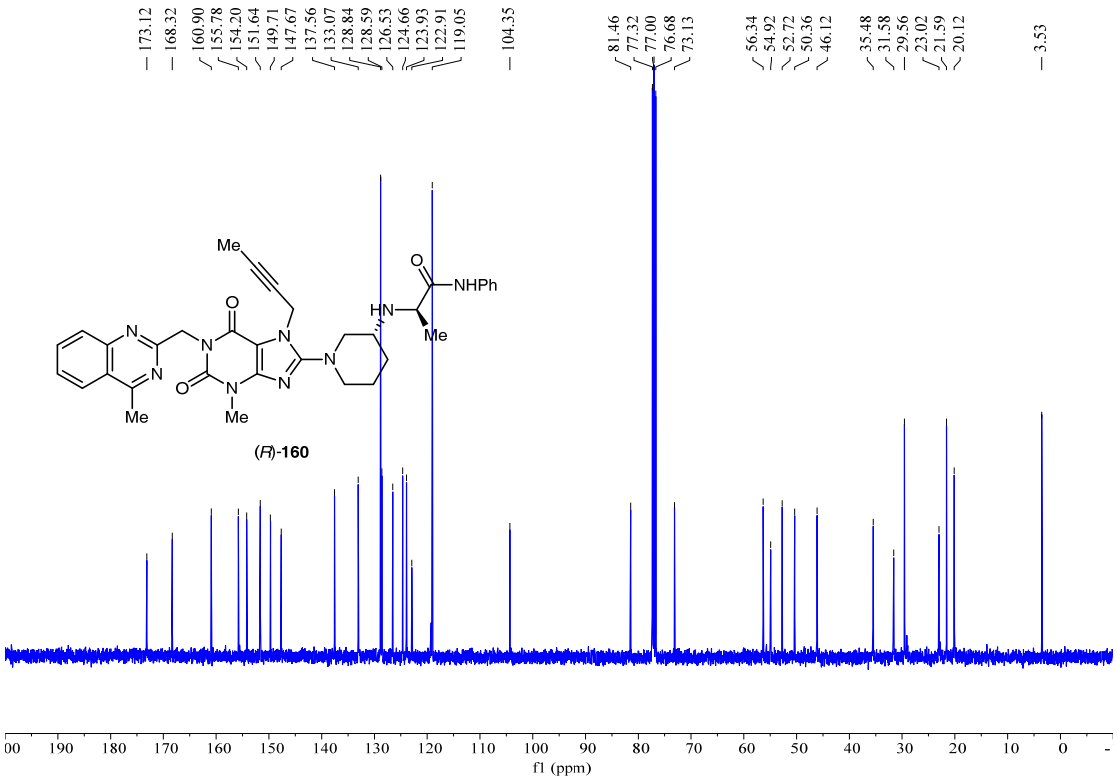

10.40 7.97 7.95 7.31 7.30 7.29 7.27 7.08 7.06 7.04 3.93 3.91 3.08 3.08 2.64 2.55 2.54 2.52 2.51 2.50 2.49 2.44 2.44 2.43 2.41 2.40 1.99 1.98 1.96 1.95 1.89 1.87 1.86 1.86 1.85 1.81 1.77 1.57 1.56 1.38 1.37 1.36 1.34 1.34 1.33 1.31 1.31 1.29 1.28 1.28 1.26 1.26 1.23 1.22 1.20 1.20 1.19 1.16 0.00
NHPh
Me
NMe2
(R)-159
1.00 2.07 2.09 1.02 1.24 1.01 1.00 8.07 1.01 1.02 1.01 3.03 4.22
f1 (ppm)

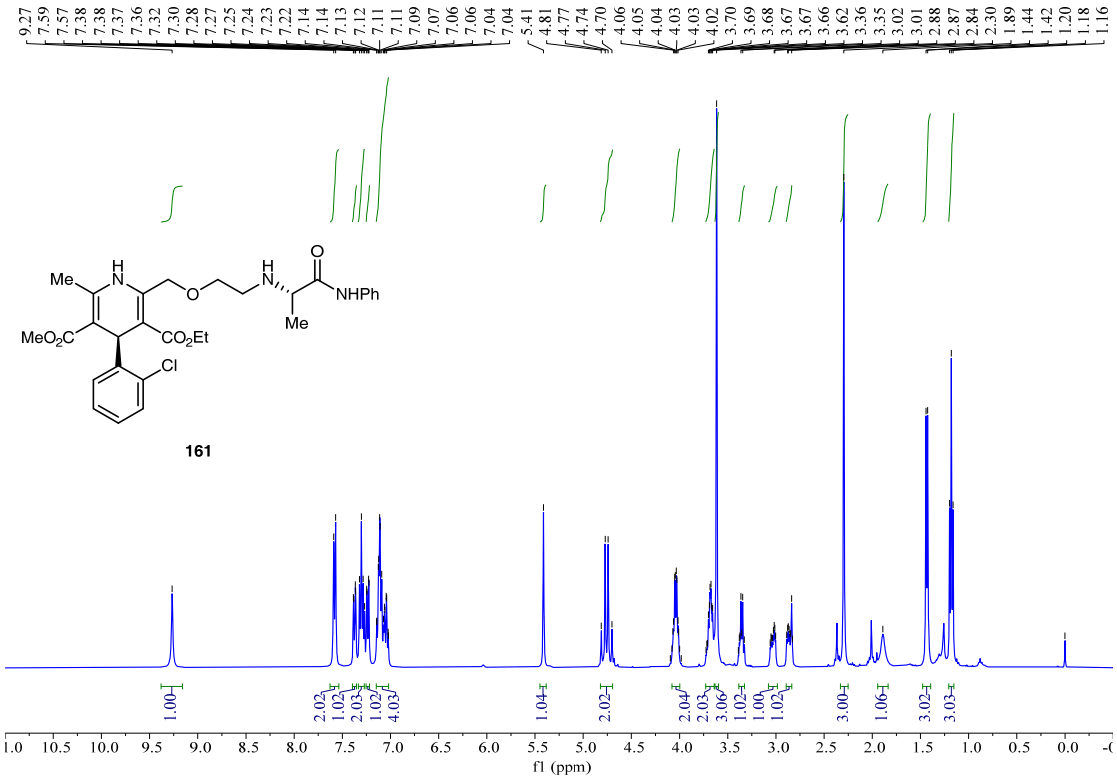

172.91 138.49 128.65 123.81 119.87 77.32 77.00 76.68 67.61 56.07 55.75 39.99 30.18 24.19 24.16 22.64 19.98
NHPh
Me
NMe2
(R)-159
f1 (ppm)

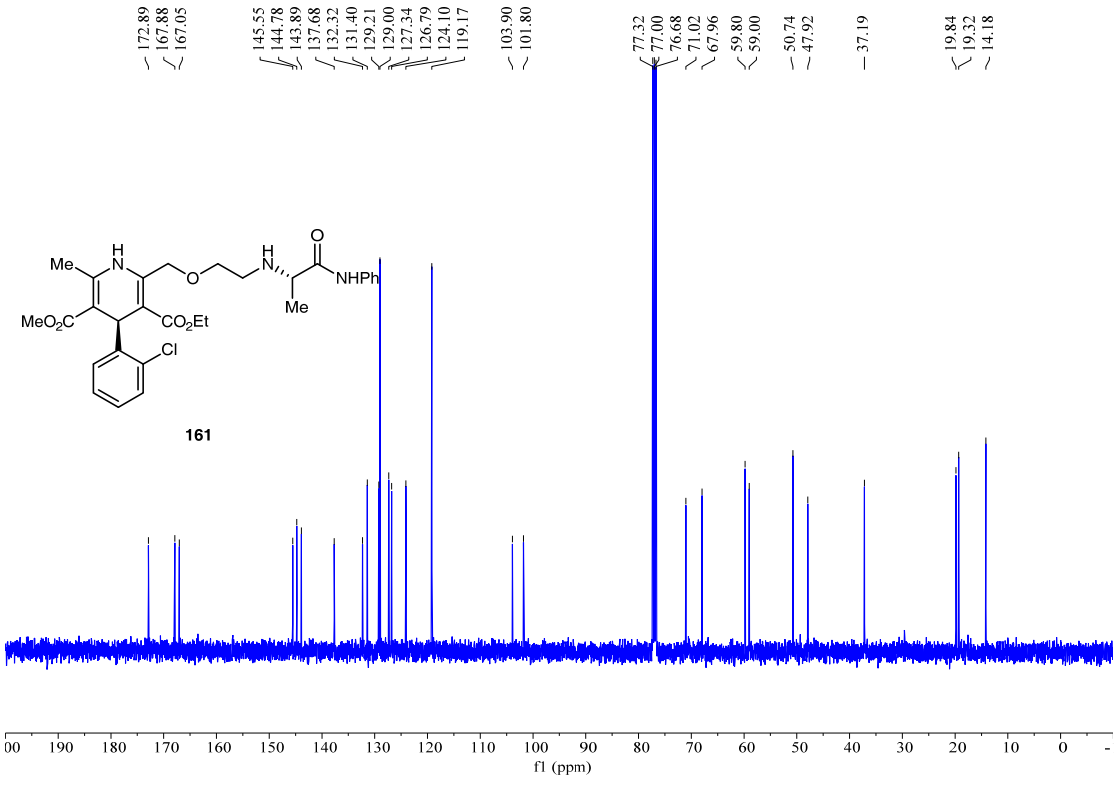

9.38 7.99 7.99 7.97 7.97 7.86 7.84 7.75 7.75 7.74 7.73 7.73 7.71 7.71 7.57 7.56 7.54 7.54 7.52 7.51 7.50 7.50 7.49 7.48 7.47 7.31 7.29 7.29 7.27 7.27 7.08 7.08 7.08 7.06 7.04 5.54 4.86 4.85 4.85 4.84 3.68 3.67 3.65 3.64 3.53 3.50 3.45 3.44 3.22 3.12 2.94 2.86 1.76 1.75 1.74 1.74 1.45 1.43 1.26
Me
O
NHPh
HN
Me
N
N
O
N
N
N
N
N
Me
O
N
Me
160
1.00 1.03 1.04 1.01 2.01 1.04 2.07 1.00 2.01 2.05 1.00 5.09 1.01 1.01 1.02 3.06 1.12 1.17 4.14 1.07 3.03 1.18
fl (ppm)

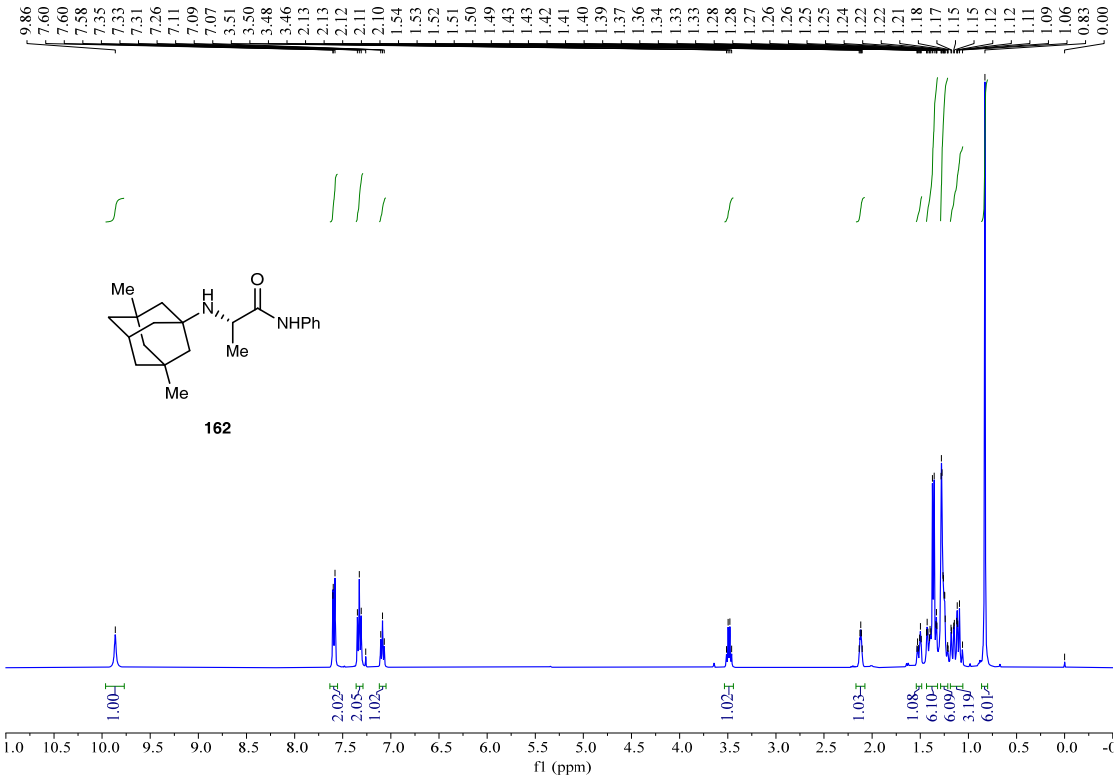

173.03 168.31 160.96 155.56 154.14 151.60 149.71 147.59 137.41 133.06 128.75 128.59 126.52 124.67 123.99 122.91 119.27 104.35 81.47 77.32 77.00 76.68 73.02 56.51 55.70 52.79 50.39 46.12 35.52 30.16 29.42 22.83 21.58 20.10 3.51
Me
O
NHPh
HN
Me
N
N
O
N
N
N
N
N
Me
O
N
Me
160
fl (ppm)

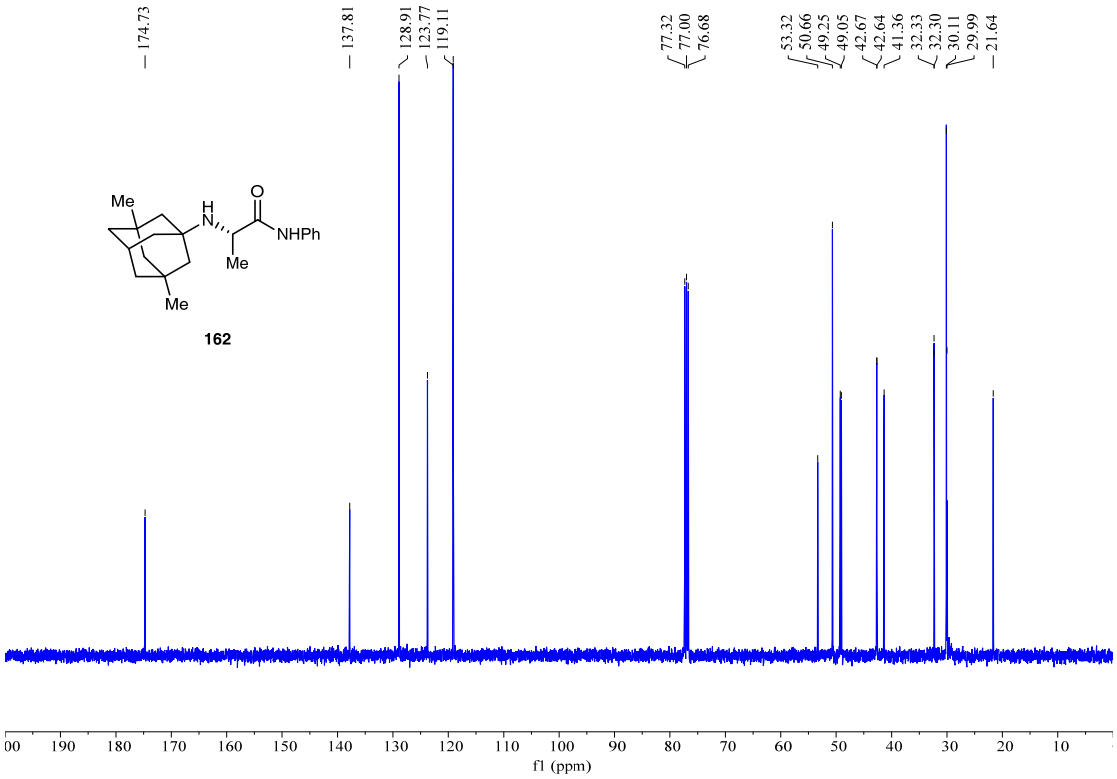

(R)-160
f1 (ppm)

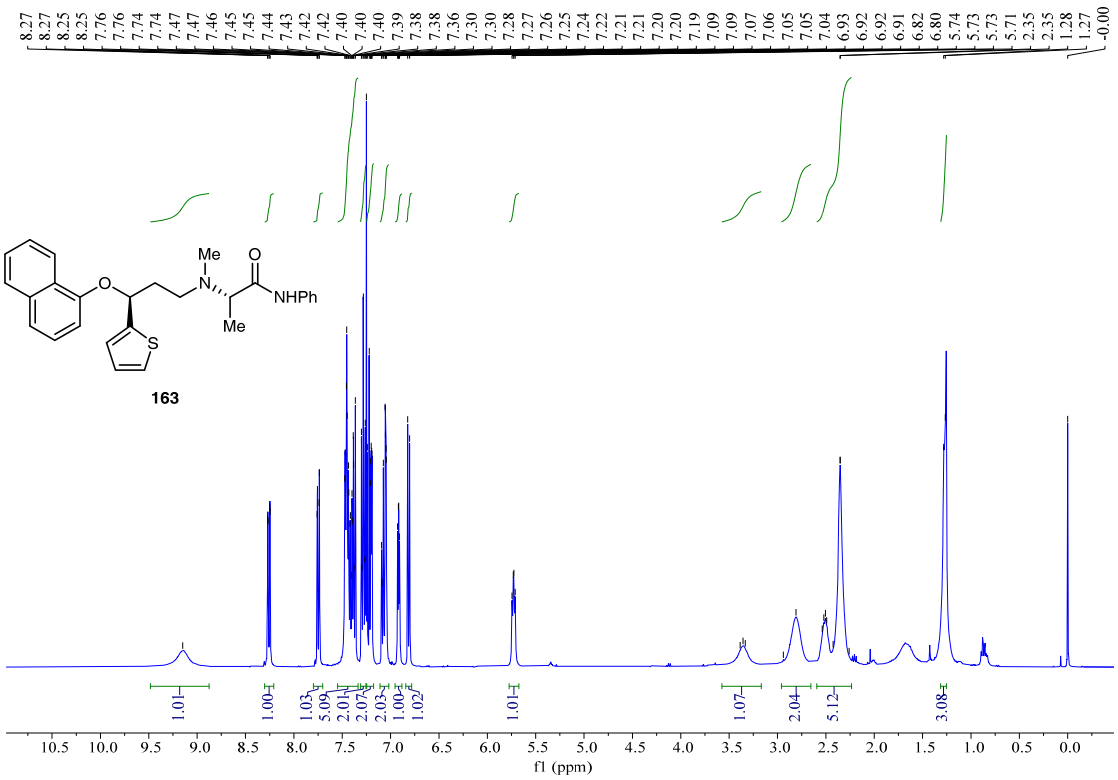

(R)-160
f1 (ppm)


9.27 7.59 7.57 7.38 7.38 7.37 7.36 7.32 7.30 7.28 7.27 7.25 7.24 7.23 7.22 7.14 7.14 7.13 7.12 7.11 7.11 7.09 7.07 7.06 7.06 7.04 7.04
5.41 4.81 4.77 4.74 4.70 4.06 4.05 4.04 4.03 4.03 4.02 3.70 3.69 3.68 3.67 3.67 3.66 3.62 3.36 3.35 3.02 3.01 2.88 2.87 2.84 2.30 1.89 1.44 1.42 1.20 1.18 1.16
Me
H
N
O
H
N
O
NHPh
Me
MeO2C
CO2Et
Cl
161
1.00 2.02 1.02 2.03 1.02 4.03 1.04 2.02 2.04 2.03 3.06 1.02 1.00 1.02 3.00 1.06 3.02 3.03
f1 (ppm)


172.89 167.88 167.05
145.55 144.78 143.89 137.68 132.32 131.40 129.21 129.00 127.34 126.79 124.10 119.17
103.90 101.80
77.32 77.00 76.68 71.02 67.96 59.80 59.00 50.74 47.92 37.19 19.84 19.32 14.18
Me
H
N
O
H
N
O
NHPh
Me
MeO2C
CO2Et
Cl
161
f1 (ppm)


9.86 7.60 7.60 7.58 7.35 7.33 7.31 7.26 7.11 7.09 7.07 3.51 3.50 3.48 3.46 2.13 2.13 2.12 2.11 2.10 1.54 1.53 1.52 1.51 1.50 1.49 1.43 1.43 1.42 1.41 1.40 1.39 1.37 1.36 1.34 1.33 1.33 1.28 1.28 1.27 1.26 1.26 1.25 1.25 1.24 1.22 1.22 1.21 1.18 1.17 1.15 1.15 1.12 1.12 1.11 1.09 1.06 0.83 0.00
Me
H
N
O
NHPh
Me
Me
162
1.00 2.02 2.05 1.02 1.02 1.03 1.08 6.10 6.09 3.19 6.01
f1 (ppm)


174.73 137.81 128.91 123.77 119.11 77.32 77.00 76.68 53.32 50.66 49.25 49.05 42.67 42.64 41.36 32.33 32.30 30.11 29.99 21.64
Me
H
N
O
NHPh
Me
Me
162
f1 (ppm)


Me
O
N
NHPh
Me
O
S
163
1.01
1.00
1.03
5.09
2.01
2.07
2.03
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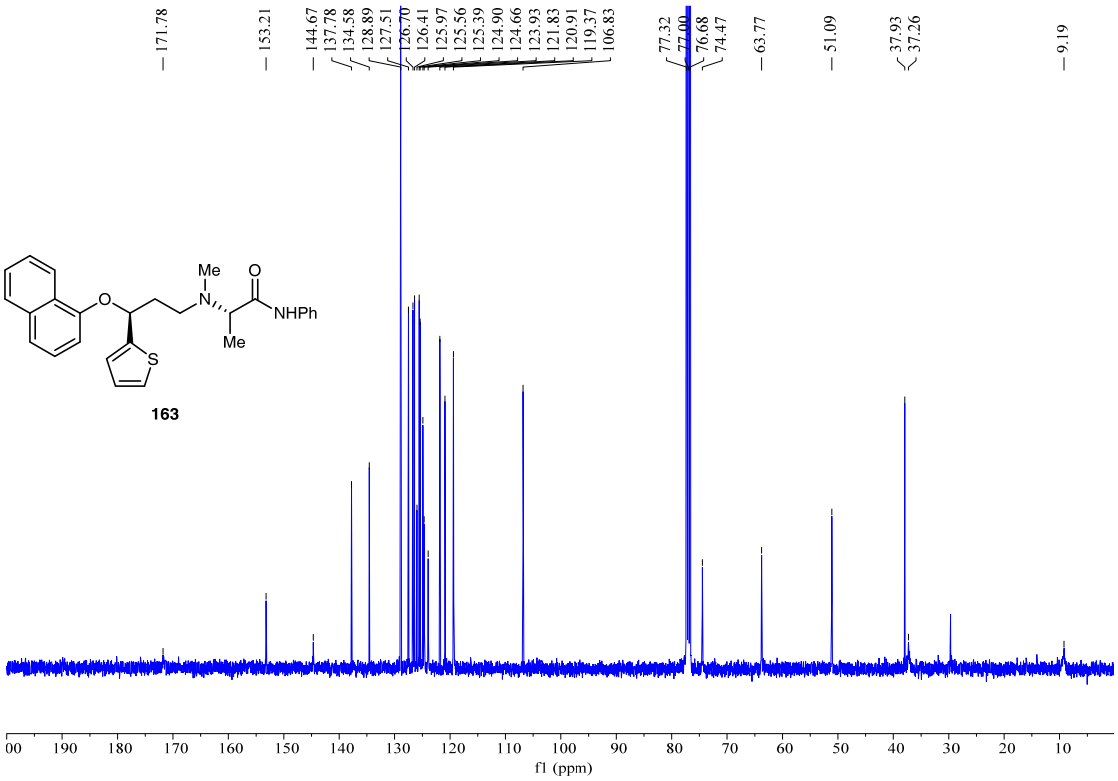
1.07
2.04
5.12
3.08
f1 (ppm)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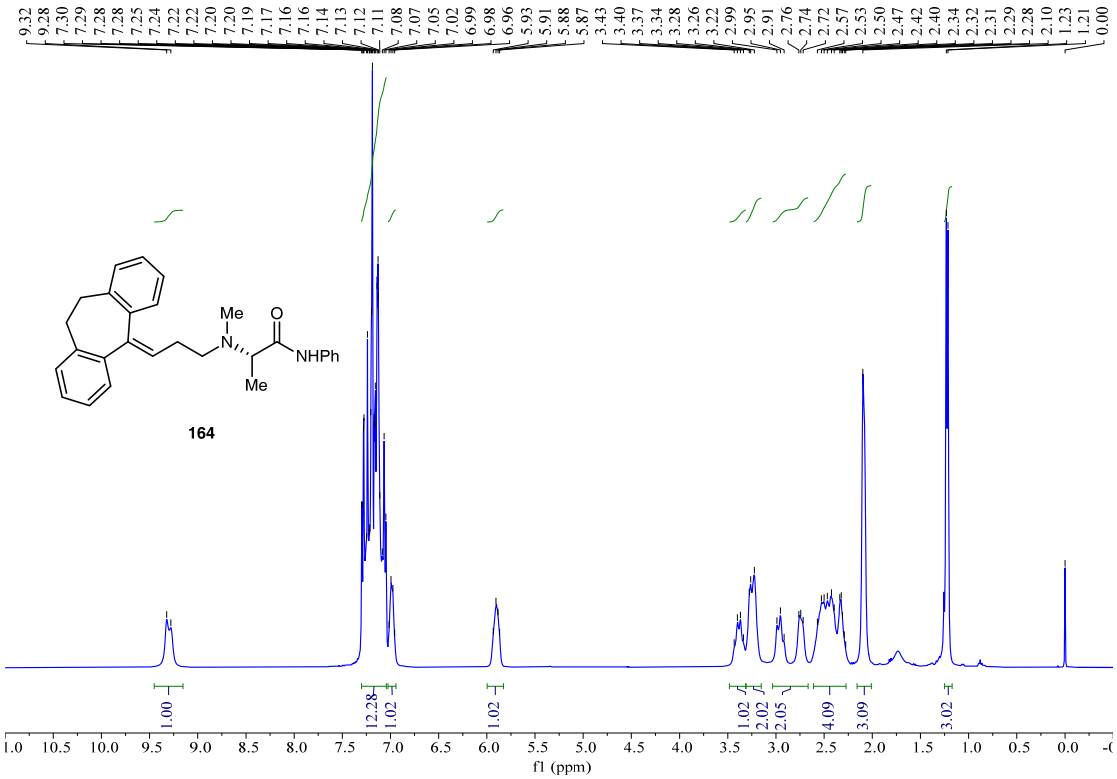
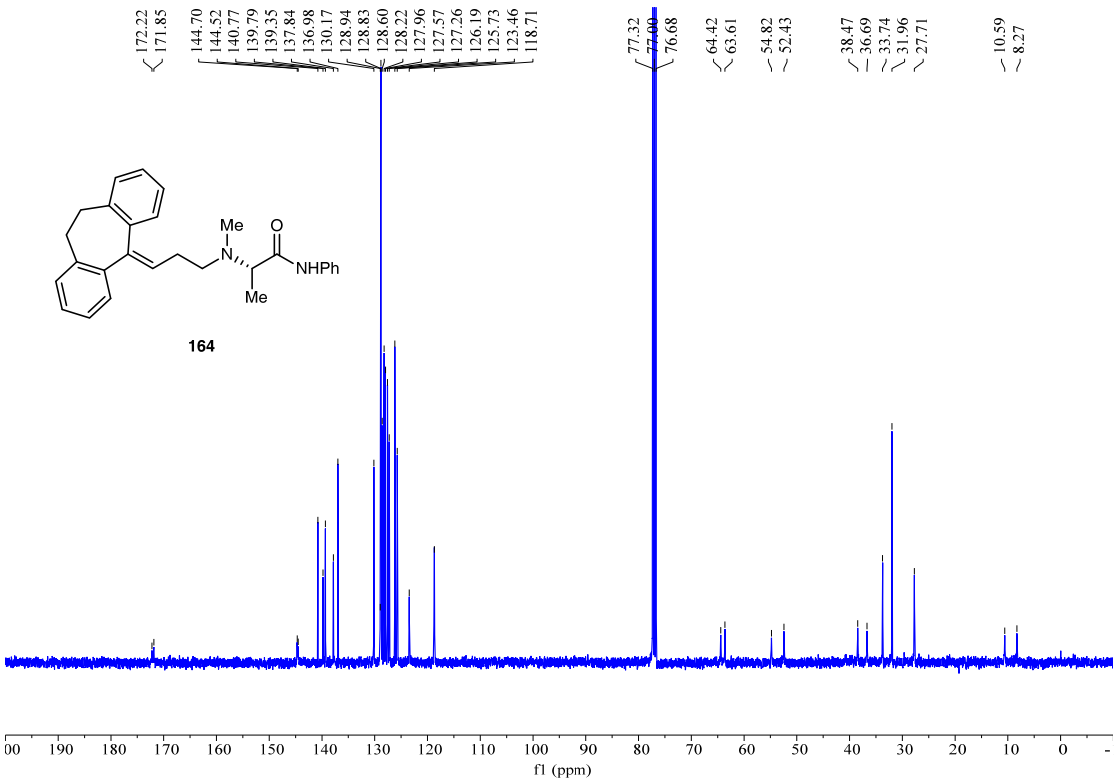
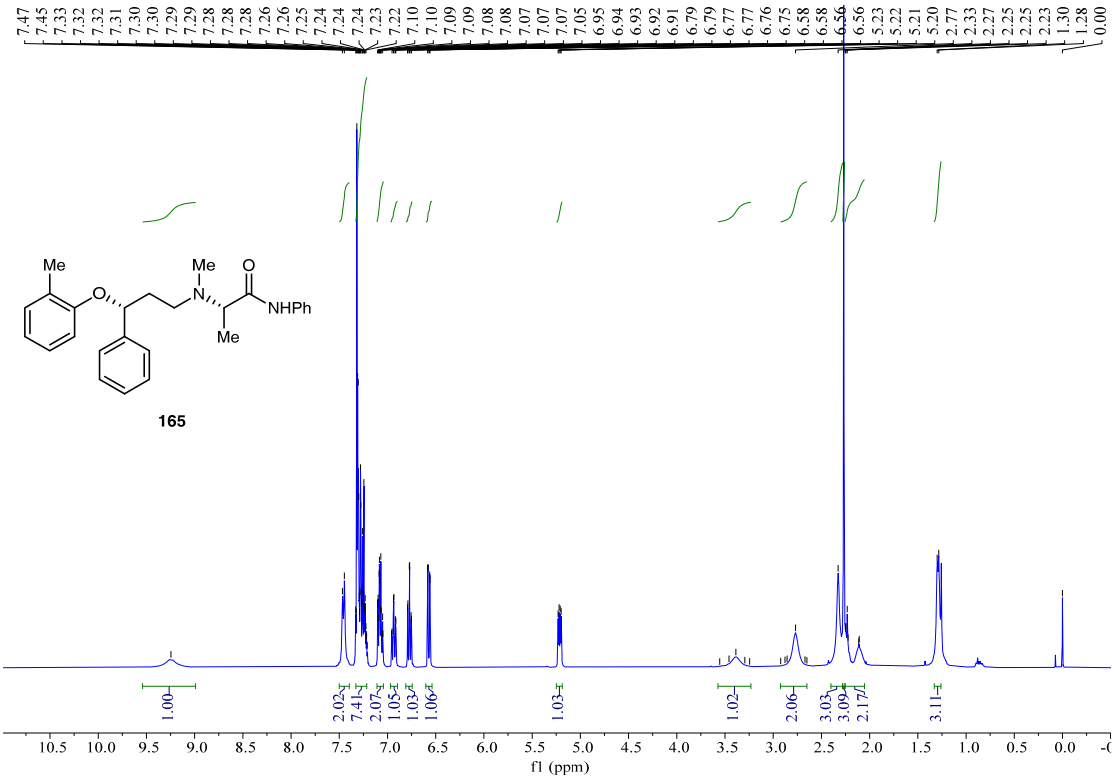
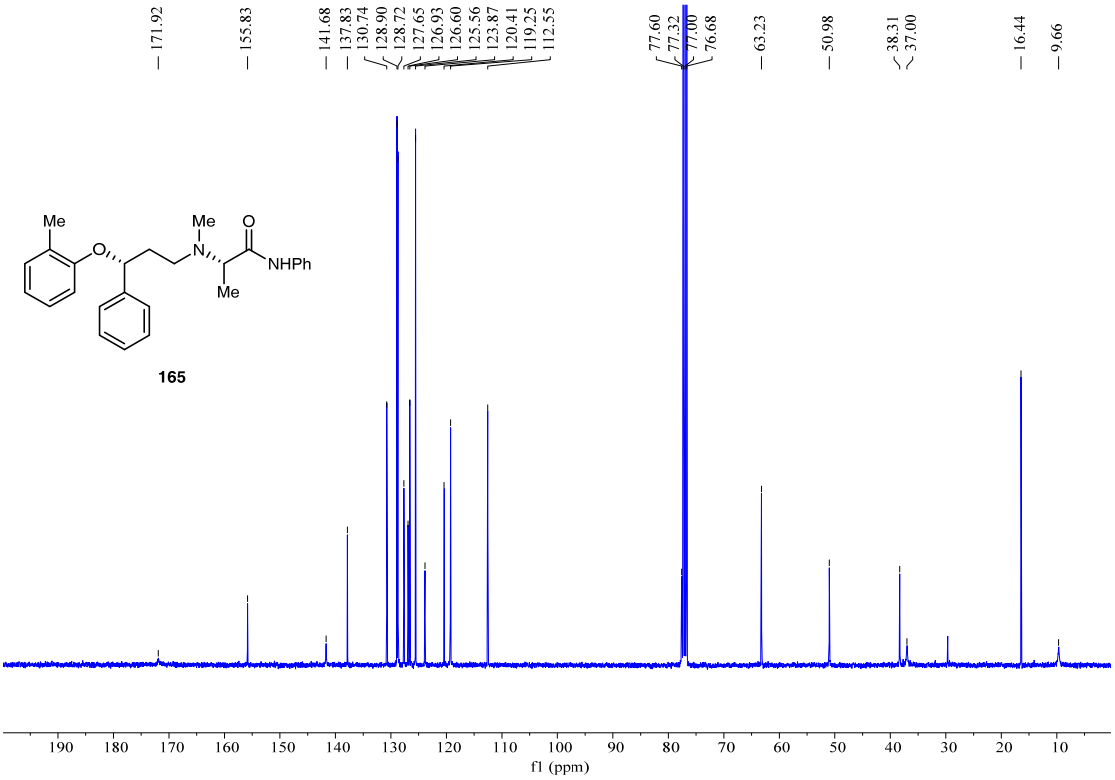
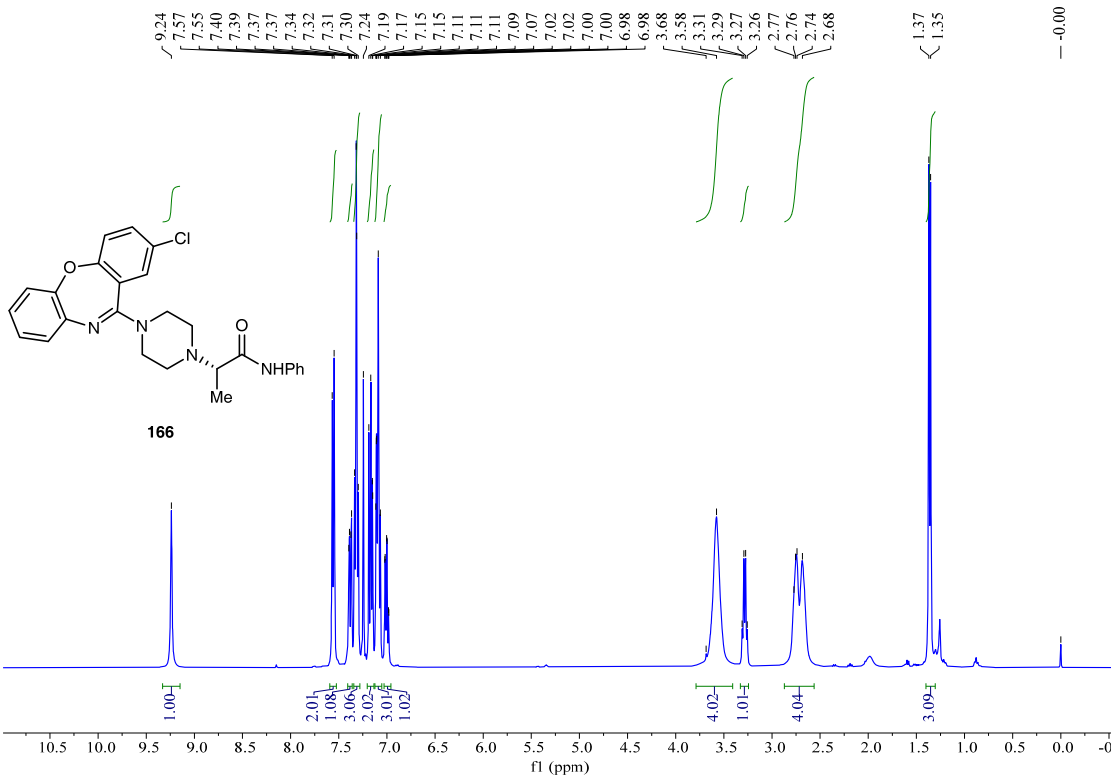
144.67
137.78
134.58
128.89
127.51
126.70
126.41
125.97
125.56
125.39
124.90
124.66
123.93
121.83
120.91
119.37
106.83
77.32
77.00
76.68
74.47
63.77
51.09
37.93
37.26
9.19
Me
O
N
NHPh
Me
O
S
163
f1 (ppm)


9.32 9.28 7.30 7.29 7.28 7.28 7.25 7.24 7.22 7.22 7.20 7.20 7.19 7.17 7.16 7.16 7.14 7.13 7.12 7.11 7.08 7.07 7.05 7.02 6.99 6.98 6.96 5.93 5.91 5.88 5.87 3.43 3.40 3.37 3.34 3.28 3.26 3.22 2.99 2.95 2.91 2.76 2.74 2.72 2.57 2.53 2.50 2.47 2.42 2.40 2.34 2.32 2.31 2.29 2.28 2.10 1.23 1.21 0.00
Me
N
O
NHPh
Me
164
1.00 12.28 1.02 1.02 1.02 2.02 2.05 4.09 3.09 3.02
f1 (ppm)


172.22 171.85 144.70 144.52 140.77 139.79 139.35 137.84 136.98 130.17 128.94 128.83 128.60 128.22 127.96 127.57 127.26 126.19 125.73 123.46 118.71 77.32 77.00 76.68 64.42 63.61 54.82 52.43 38.47 36.69 33.74 31.96 27.71 10.59 8.27
Me
N
O
NHPh
Me
164
f1 (ppm)


Me
Me
O
O
N
NHPh
Me
165
fl (ppm)


Me
Me
O
O
N
NHPh
Me
165
fl (ppm)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7.57
7.55
7.40
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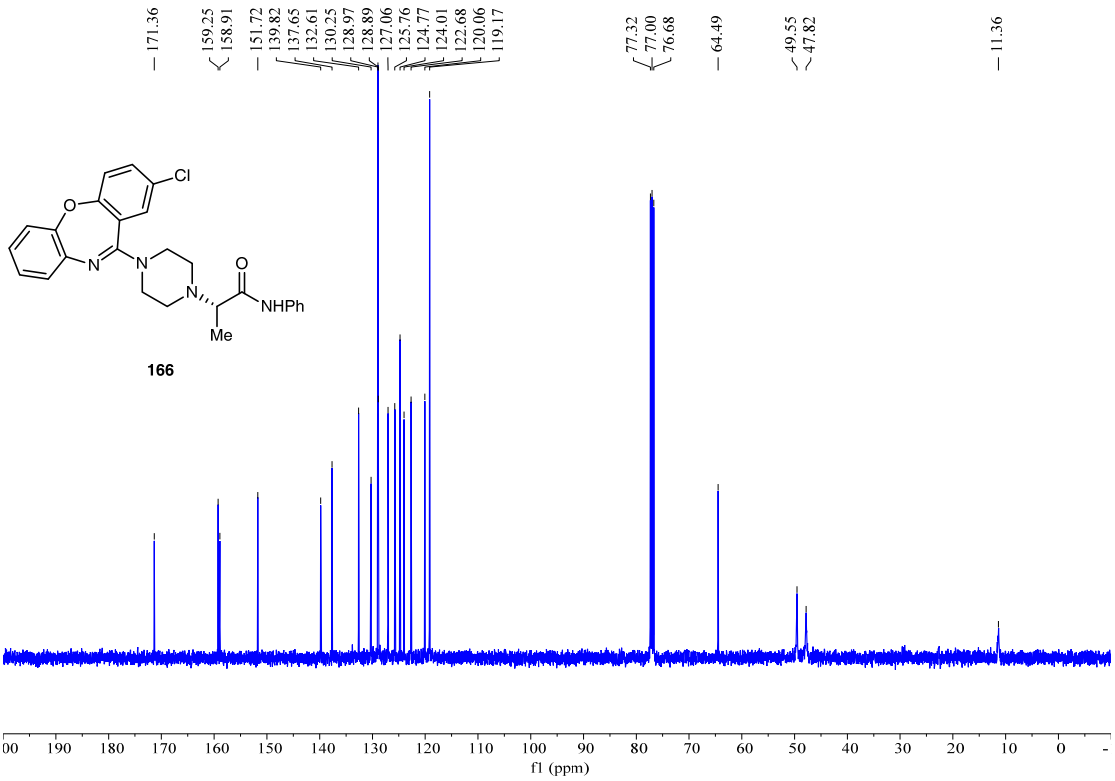
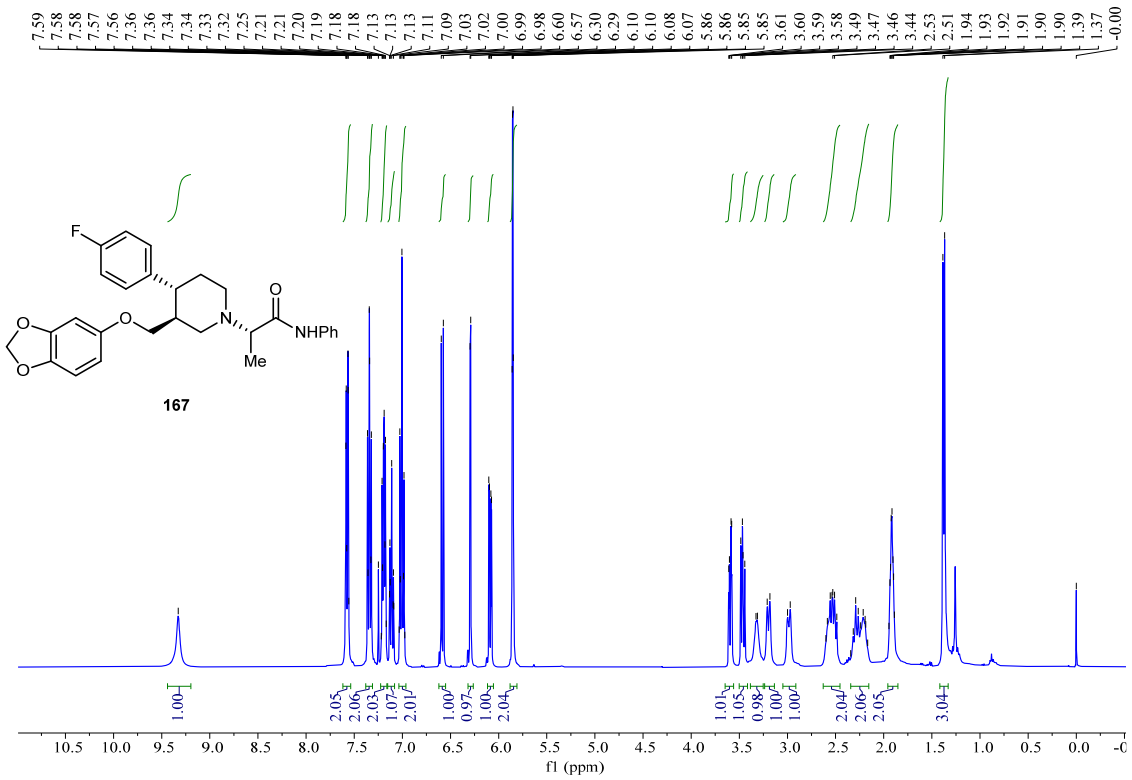
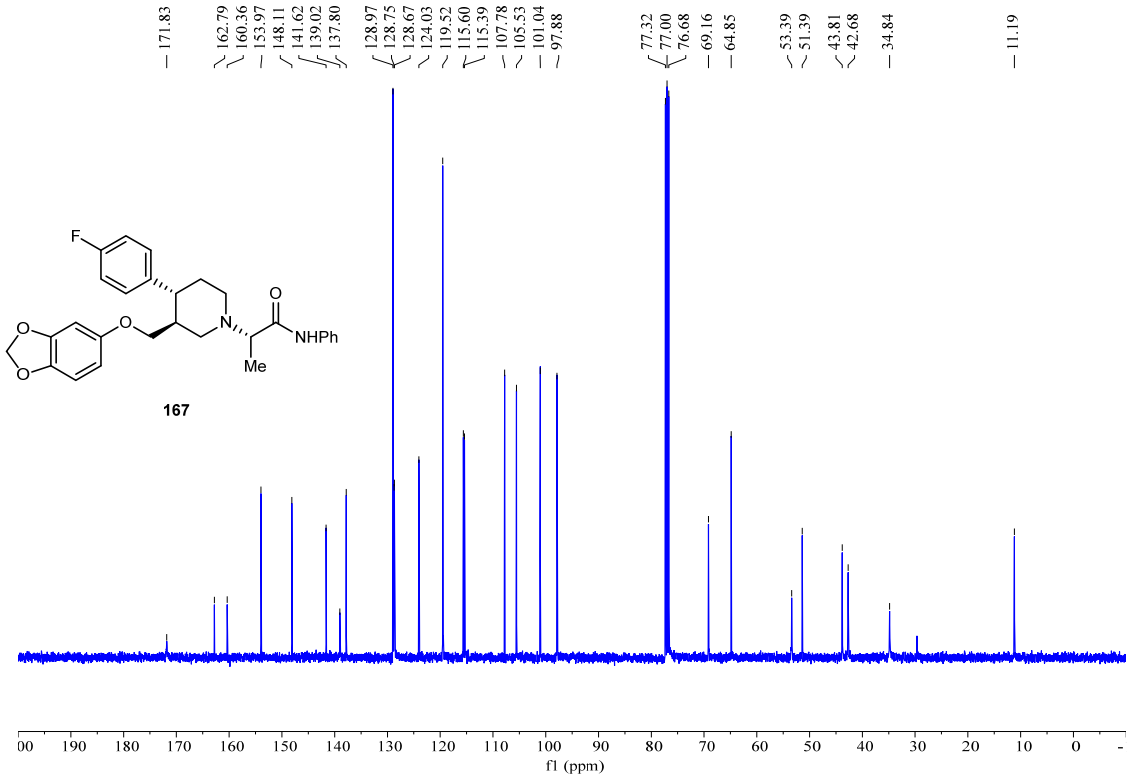
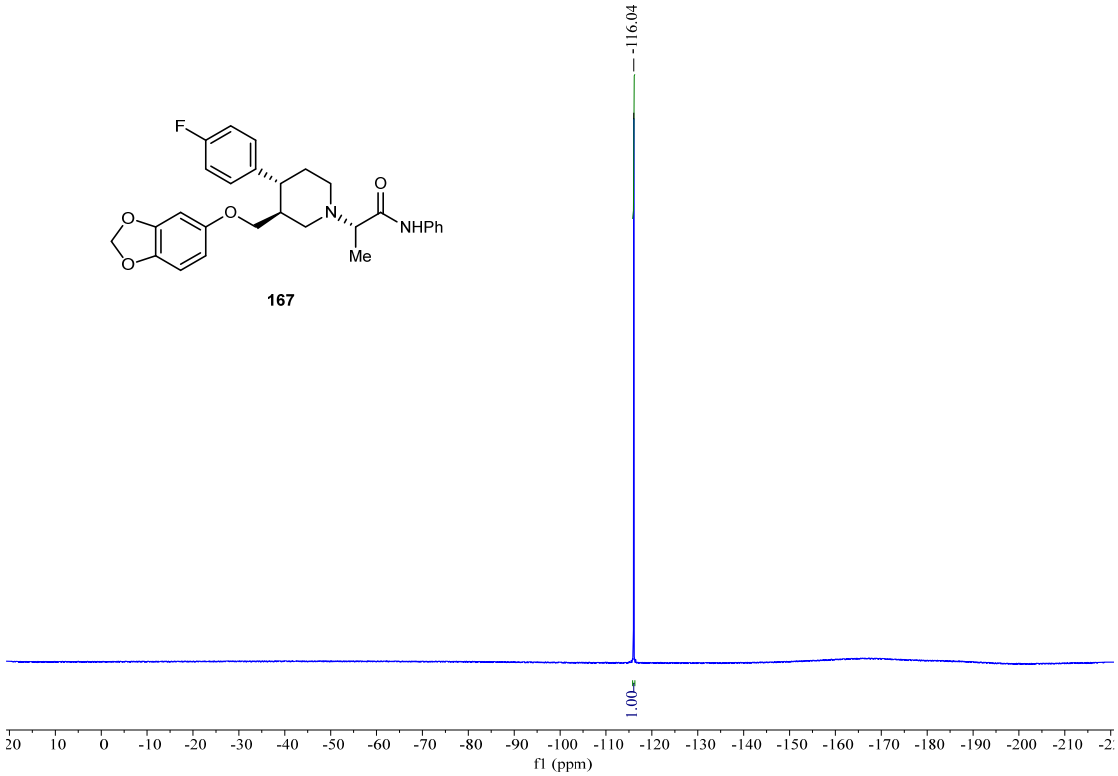
7.37
7.37
7.34
7.32
7.31
7.30
7.24
7.19
7.17
7.15
7.15
7.11
7.11
7.11
7.09
7.07
7.02
7.02
7.00
7.00
6.98
6.98
3.68
3.58
3.31
3.29
3.27
3.26
2.77
2.76
2.74
2.68
1.37
1.35
-0.00
Cl
O
N
N
N
O
NHPh
Me
166
1.00
2.01
1.08
3.06
2.02
3.01
1.02
4.02
1.01
4.04
3.09
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0
f1 (ppm)

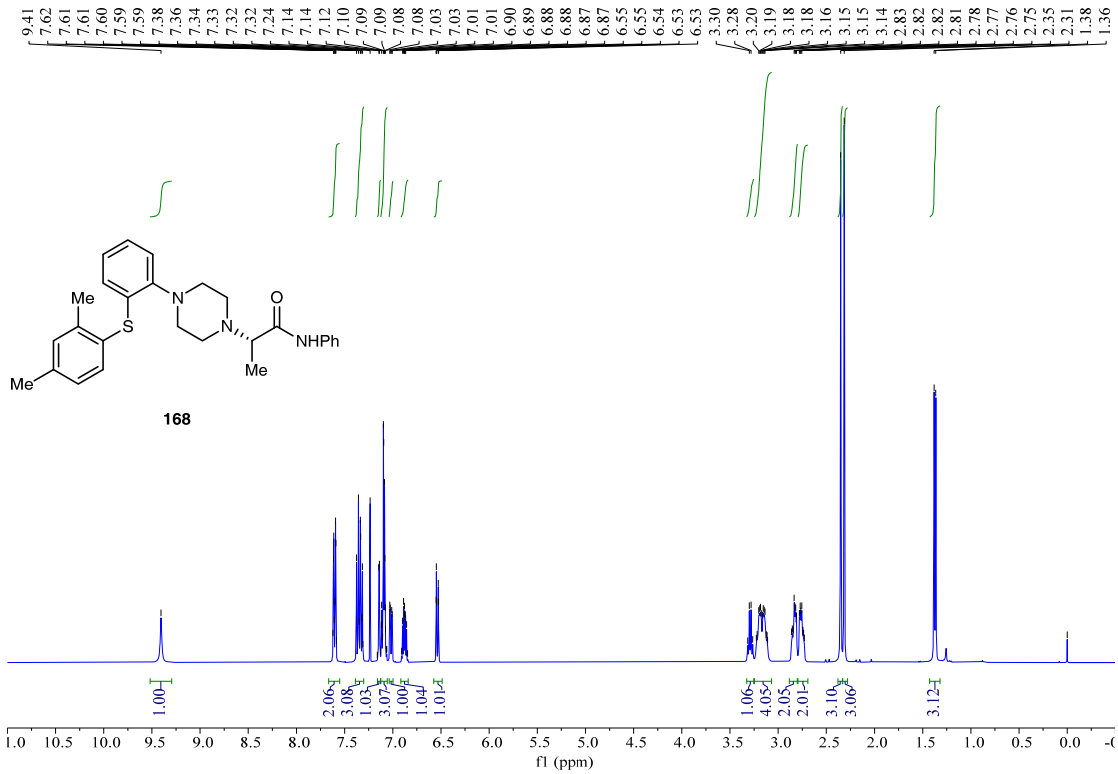

171.36
159.25
158.91
151.72
139.82
137.65
132.61
130.25
128.97
128.89
127.06
125.76
124.77
124.01
122.68
120.06
119.17
77.32
77.00
76.68
64.49
49.55
47.82
11.36
Cl
O
N
N
N
O
NHPh
Me
166
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -
f1 (ppm)

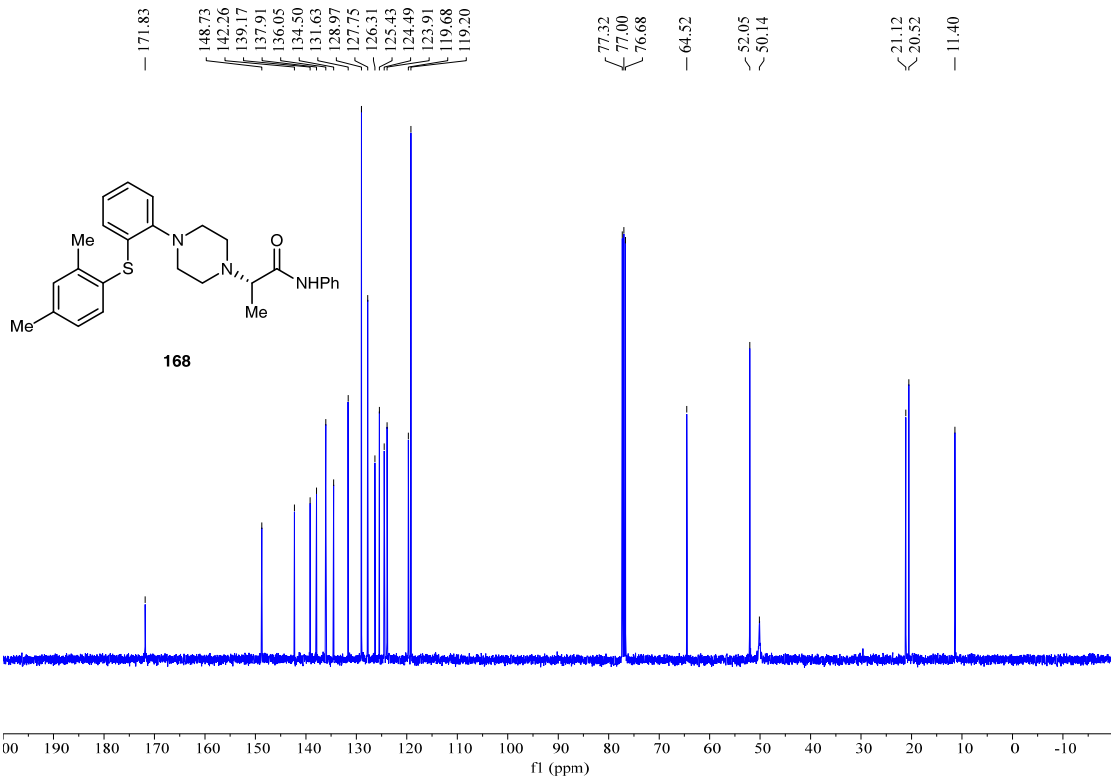

F
O
O
O
N
O
NHPh
Me
167
f1 (ppm)

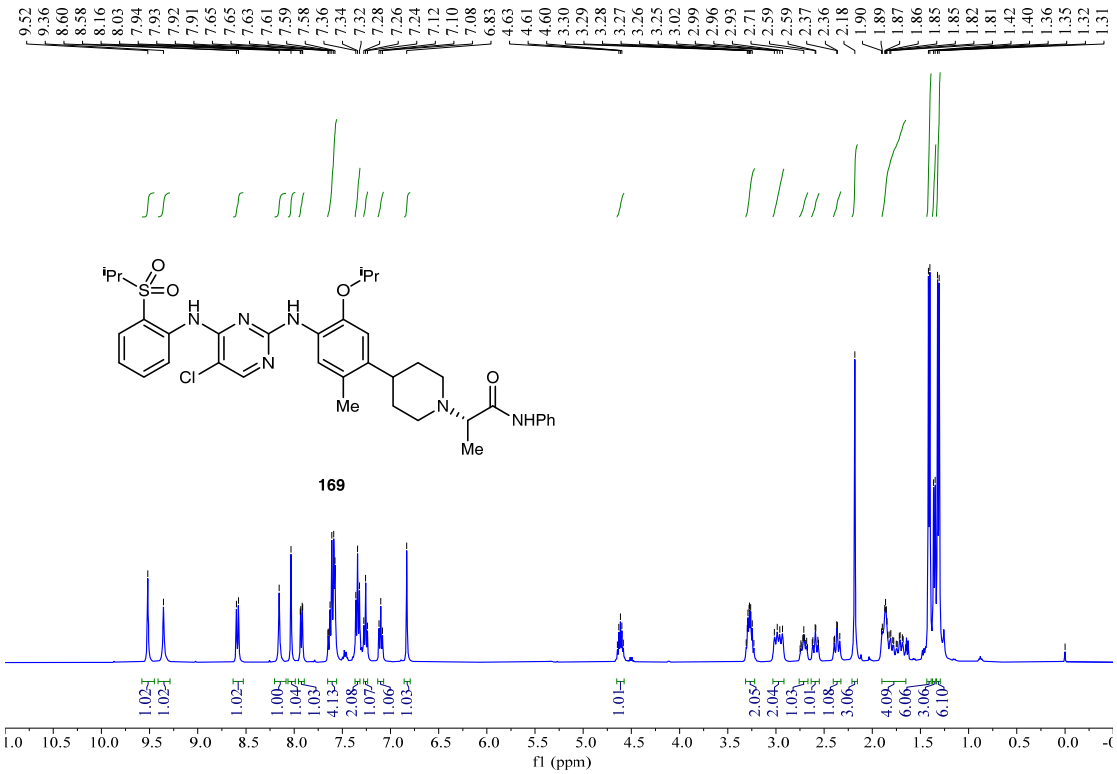

F
O
O
O
N
O
NHPh
Me
167
f1 (ppm)

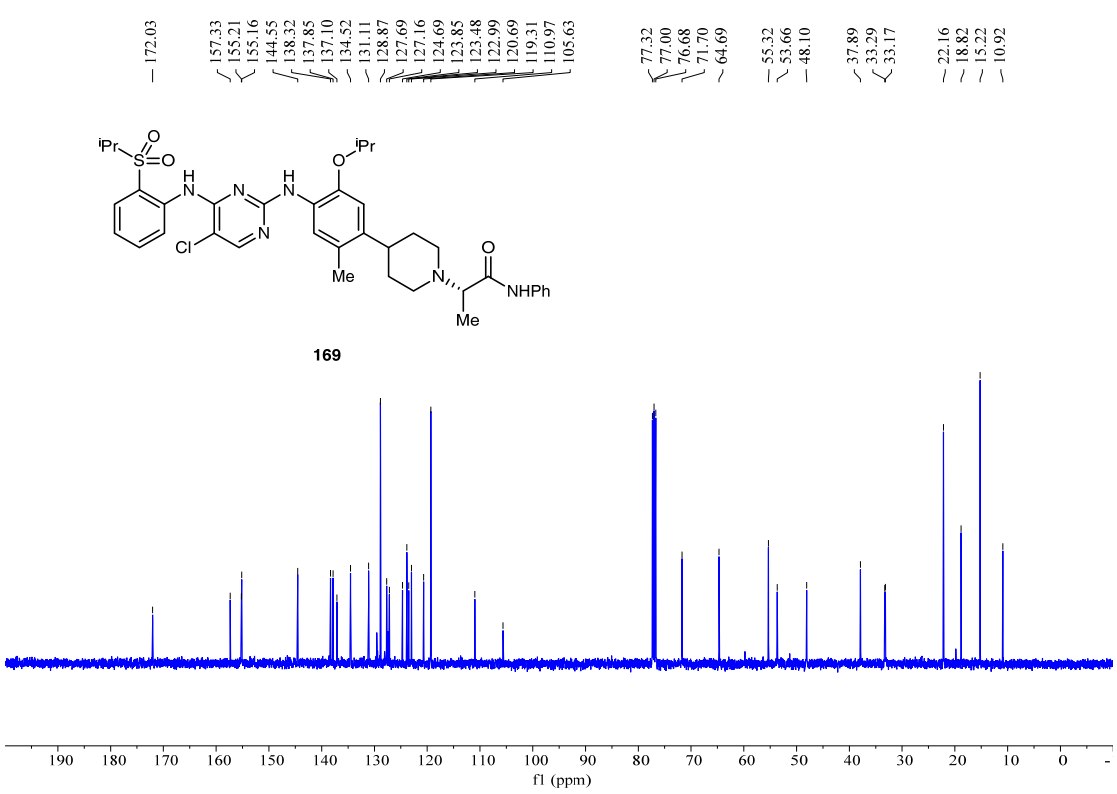

F
O
O
O
N
O
NHPh
Me
-116.04
1.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

167


9.41 7.62 7.61 7.61 7.60 7.59 7.59 7.38 7.36 7.34 7.33 7.32 7.32 7.24 7.14 7.14 7.12 7.10 7.09 7.09 7.08 7.08 7.03 7.03 7.01 7.01 6.90 6.89 6.88 6.88 6.87 6.87 6.55 6.55 6.54 6.53 6.53 3.30 3.28 3.20 3.19 3.18 3.18 3.16 3.15 3.15 3.14 2.83 2.82 2.82 2.81 2.78 2.77 2.76 2.75 2.35 2.31 1.38 1.36
Me
S
N
N
O
NHPh
Me
Me
168
1.00 2.06 3.08 1.03 3.07 1.00 1.04 1.01 1.06 4.05 2.05 2.01 3.10 3.06 3.12
f1 (ppm)


171.83 148.73 142.26 139.17 137.91 136.05 134.50 131.63 128.97 127.75 126.31 125.43 124.49 123.91 119.68 119.20 77.32 77.00 76.68 64.52 52.05 50.14 21.12 20.52 11.40
Me
S
N
N
O
NHPh
Me
Me
168
f1 (ppm)


9.52 9.36 8.60 8.58 8.16 8.03 7.94 7.93 7.92 7.91 7.65 7.65 7.63 7.61 7.59 7.58 7.36 7.34 7.32 7.28 7.26 7.24 7.12 7.10 7.08 6.83 4.63 4.61 4.60 3.30 3.29 3.28 3.27 3.26 3.25 3.02 2.99 2.96 2.93 2.71 2.59 2.59 2.37 2.36 2.18 1.90 1.89 1.87 1.86 1.85 1.85 1.82 1.81 1.42 1.40 1.36 1.35 1.32 1.31
iPr
O
S
O
H
N
N
N
H
N
O
iPr
Cl
Me
N
O
NHPh
Me
169
1.02 1.02 1.02 1.00 1.04 1.03 4.13 2.08 1.07 1.06 1.03 1.01 2.05 2.04 1.03 1.01 1.08 3.06 4.09 6.06 3.06 6.10
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.03
157.33 155.21 155.16 144.55 138.32 137.85 137.10 134.52 131.11 128.87 127.69 127.16 124.69 123.85 123.48 122.99 120.69 119.31 110.97 105.63
77.32 77.00 76.68 71.70 64.69
55.32 53.66 48.10
37.89 33.29 33.17
22.16 18.82 15.22 10.92
iPr
O
S
O
H
N
N
N
H
N
O
iPr
Cl
Me
N
O
NHPh
Me
169
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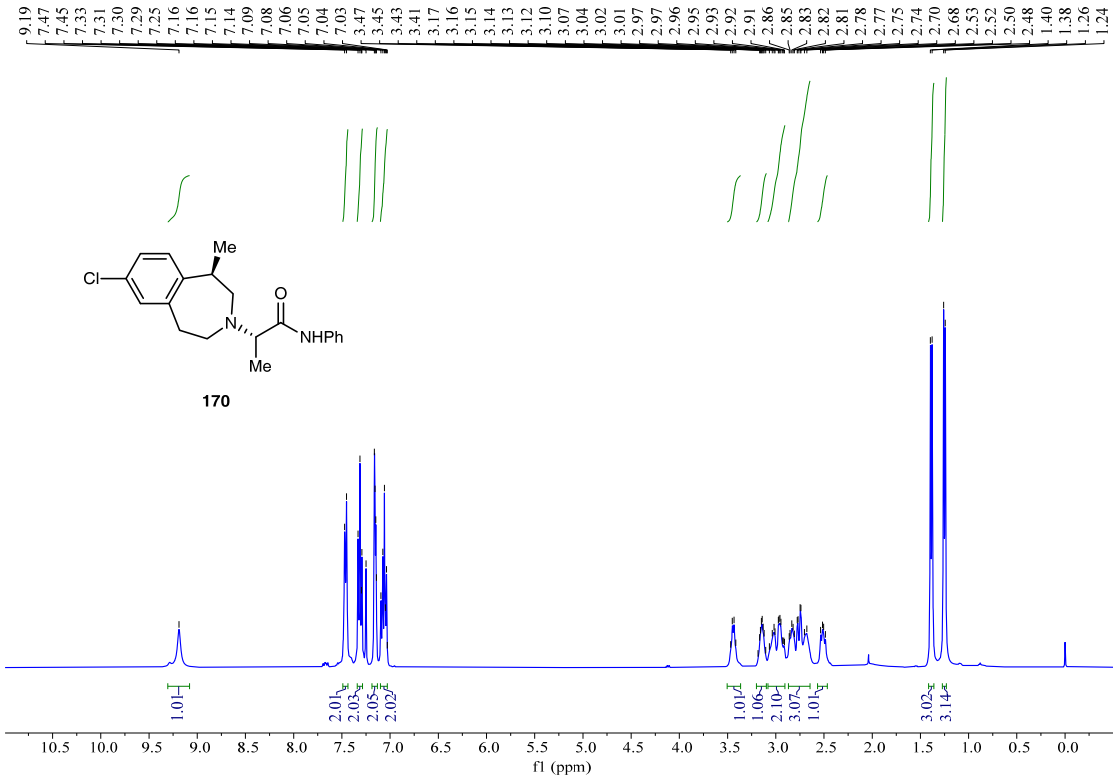
f1 (ppm)


9.19
7.47
7.45
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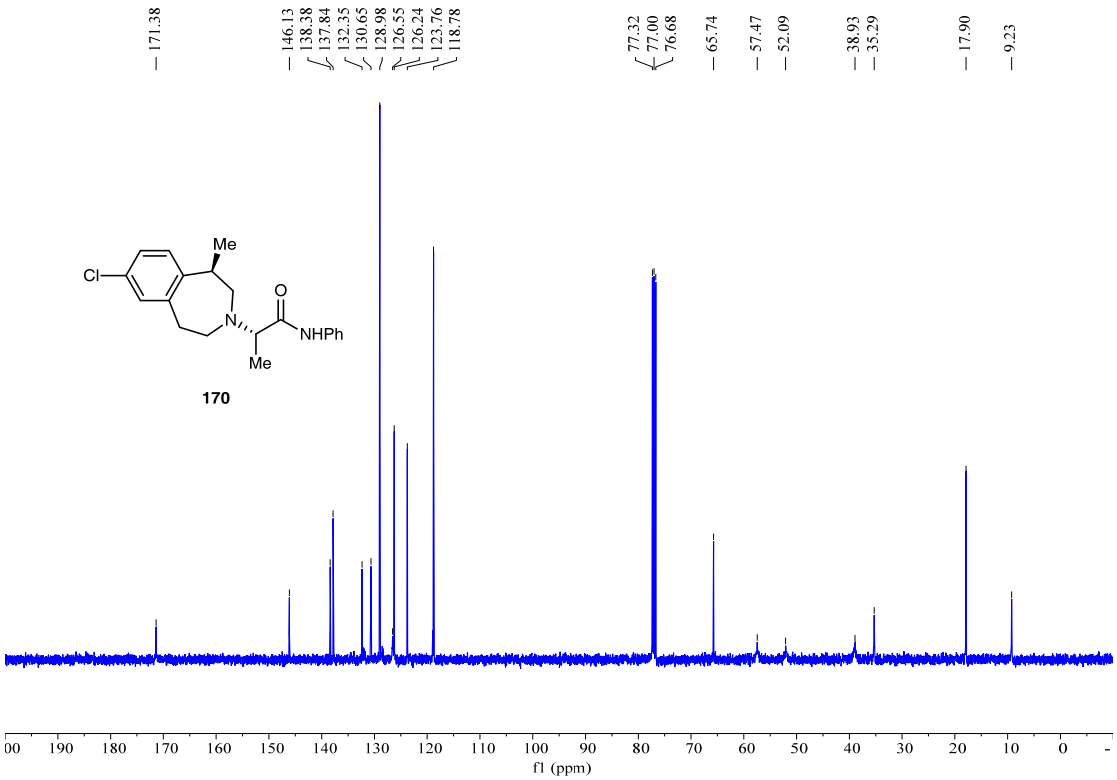
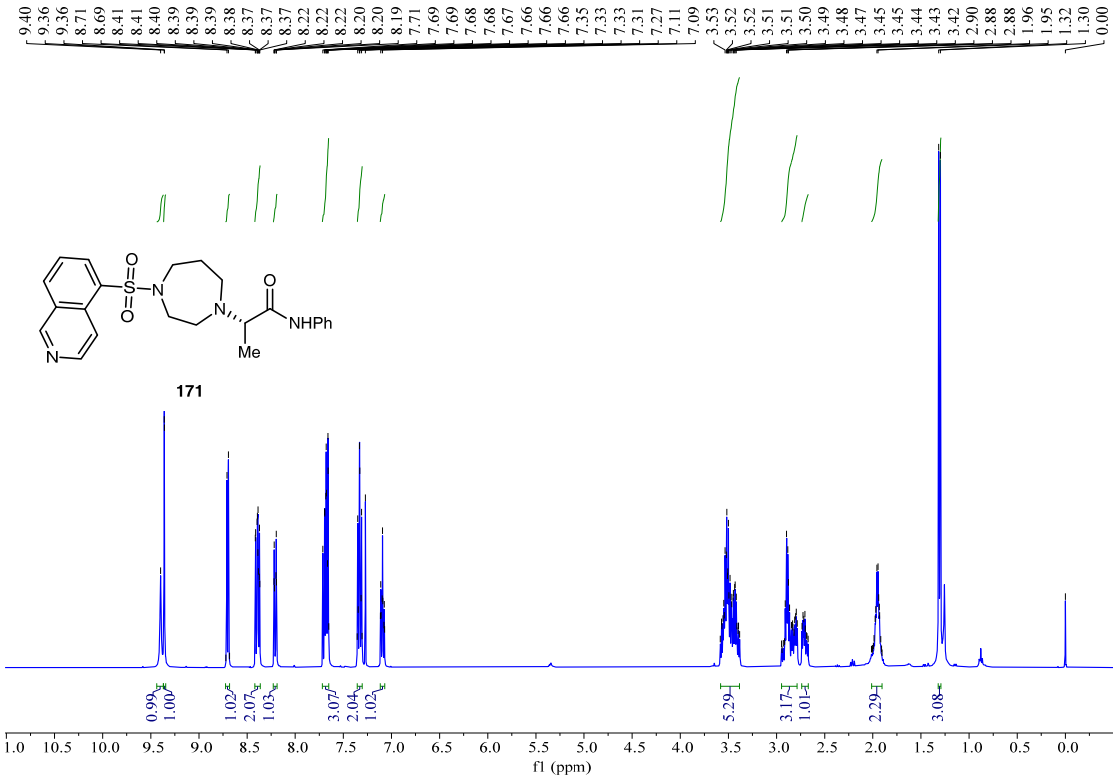
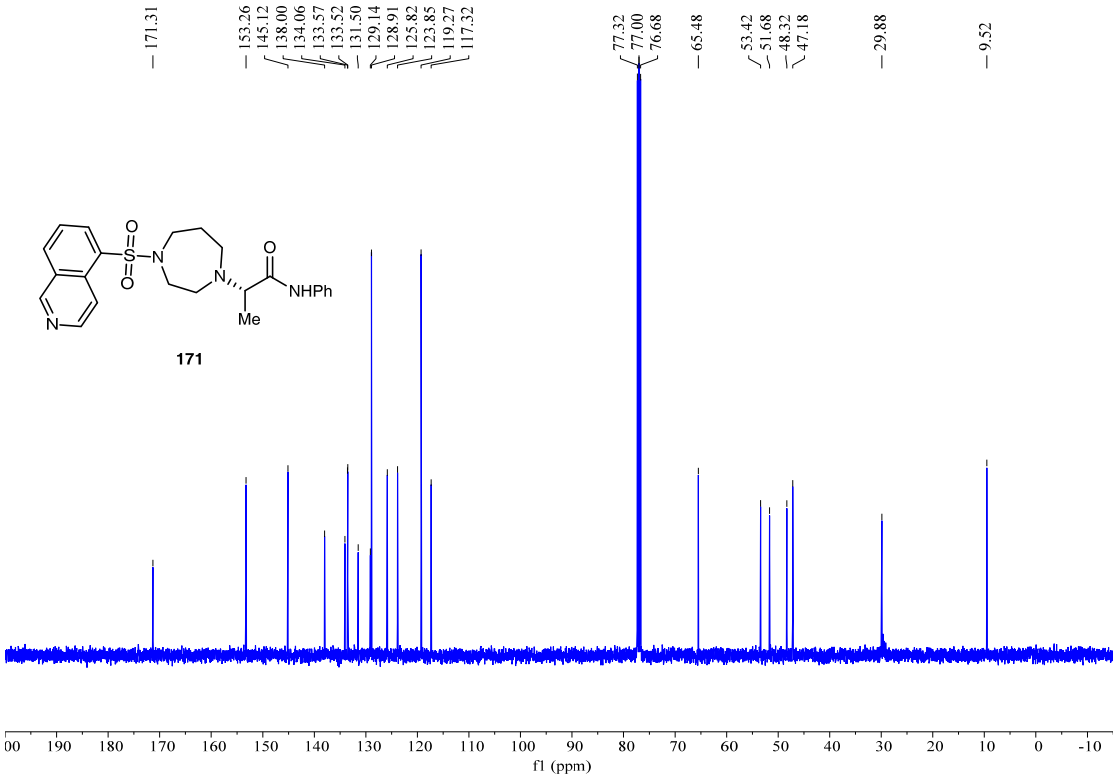
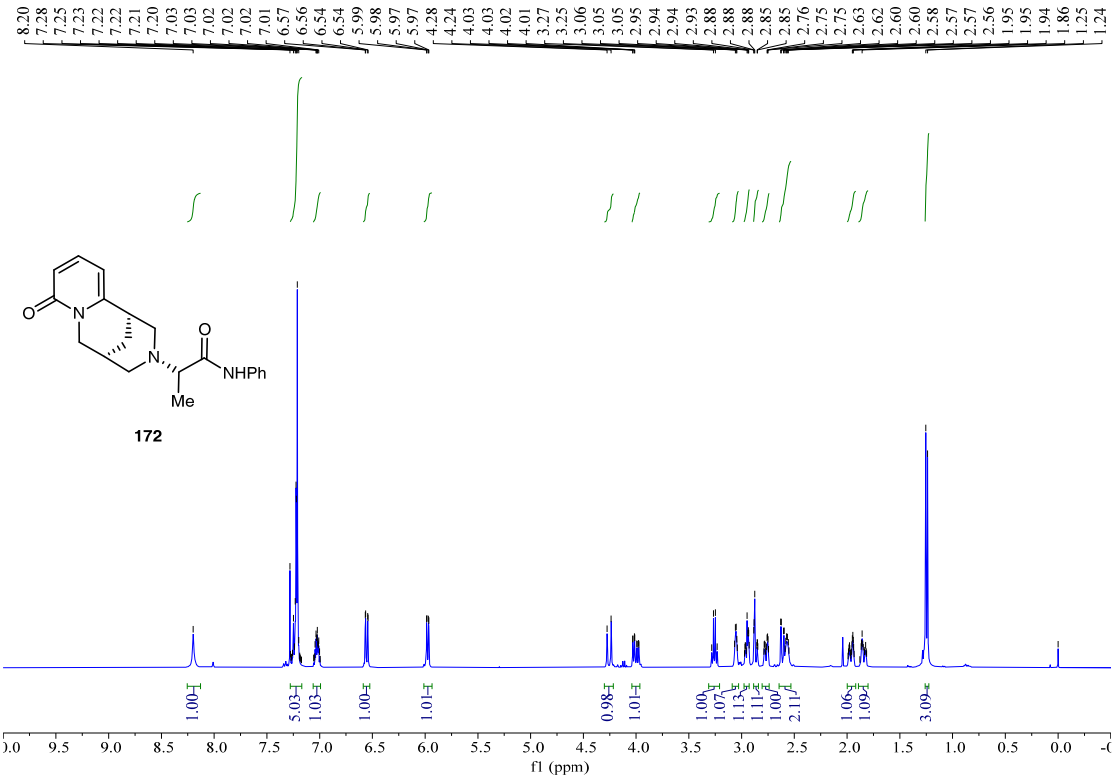
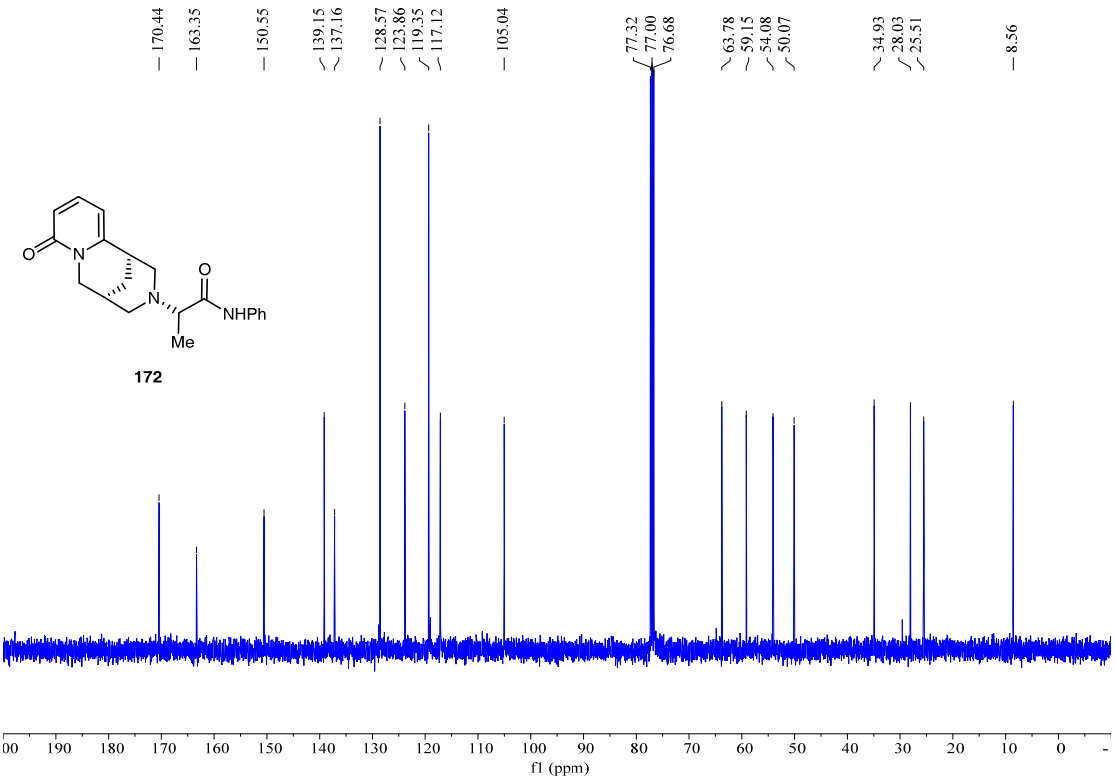
7.16
7.15
7.14
7.09
7.08
7.06
7.05
7.04
7.03
3.47
3.45
3.43
3.41
3.17
3.16
3.15
3.14
3.13
3.12
3.10
3.07
3.04
3.02
3.01
2.97
2.97
2.96
2.95
2.93
2.92
2.91
2.86
2.85
2.83
2.82
2.81
2.78
2.77
2.75
2.74
2.70
2.68
2.53
2.52
2.50
2.48
1.40
1.38
1.26
1.24
Me
Cl
O
NHPh
N
Me
170
1.01
2.01
2.03
2.05
2.02
1.01
1.06
2.10
3.07
1.01
3.02
3.14
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

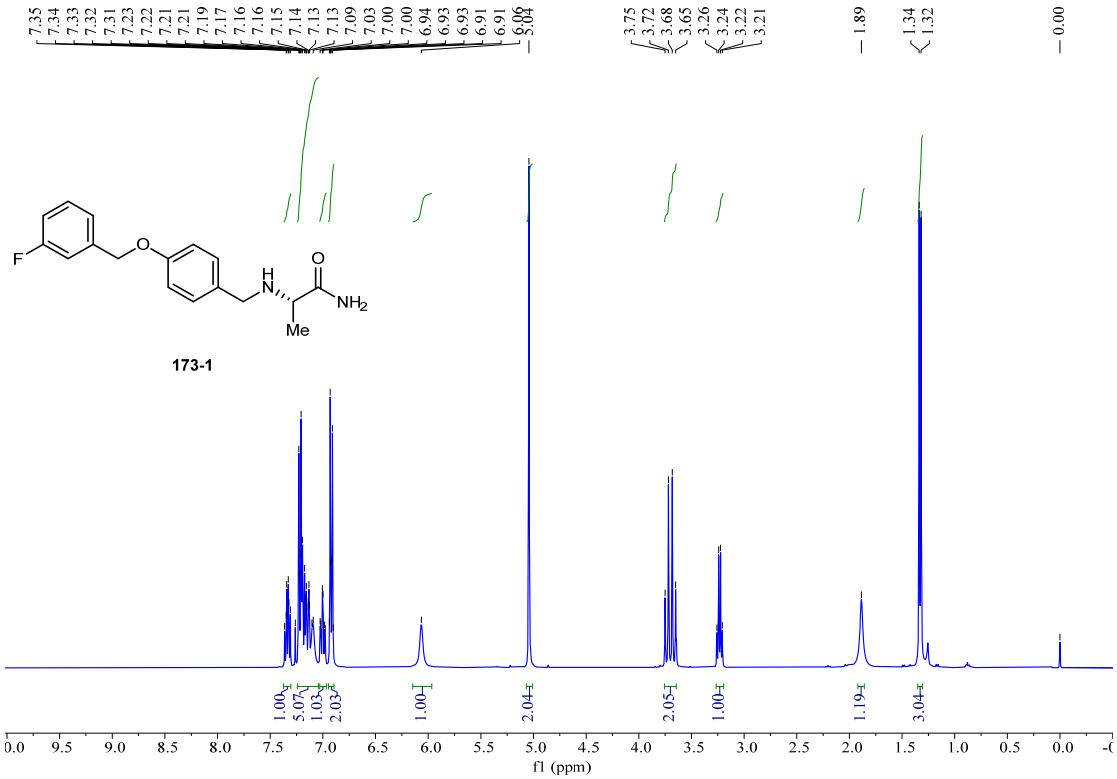

171.38
146.13
138.38
137.84
132.35
130.65
128.98
126.55
126.24
123.76
118.78
77.32
77.00
76.68
65.74
57.47
52.09
38.93
35.29
17.90
9.23
Me
Cl
O
NHPh
N
Me
170
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -
f1 (ppm)

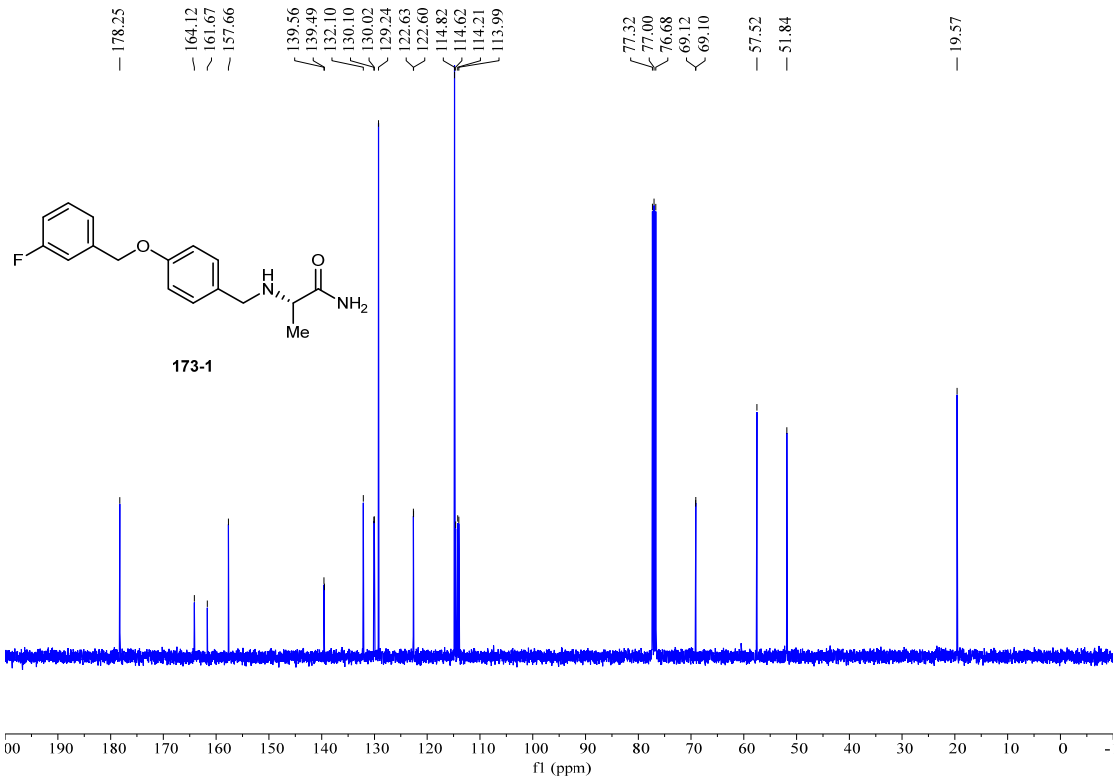

9.40 9.36 9.36 8.71 8.69 8.41 8.41 8.40 8.39 8.39 8.39 8.38 8.37 8.37 8.37 8.22 8.22 8.22 8.20 8.20 8.19 7.71 7.69 7.69 7.68 7.68 7.67 7.66 7.66 7.66 7.35 7.33 7.33 7.31 7.27 7.11 7.09 3.53 3.52 3.52 3.51 3.51 3.50 3.49 3.48 3.47 3.45 3.45 3.44 3.43 3.42 2.90 2.88 1.96 1.95 1.32 1.30 0.00
O
S
O
N
N
O
NHPh
Me
N
171
0.99 1.00 1.02 2.07 1.03 3.07 2.04 1.02 5.29 3.17 1.01 2.29 3.08
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

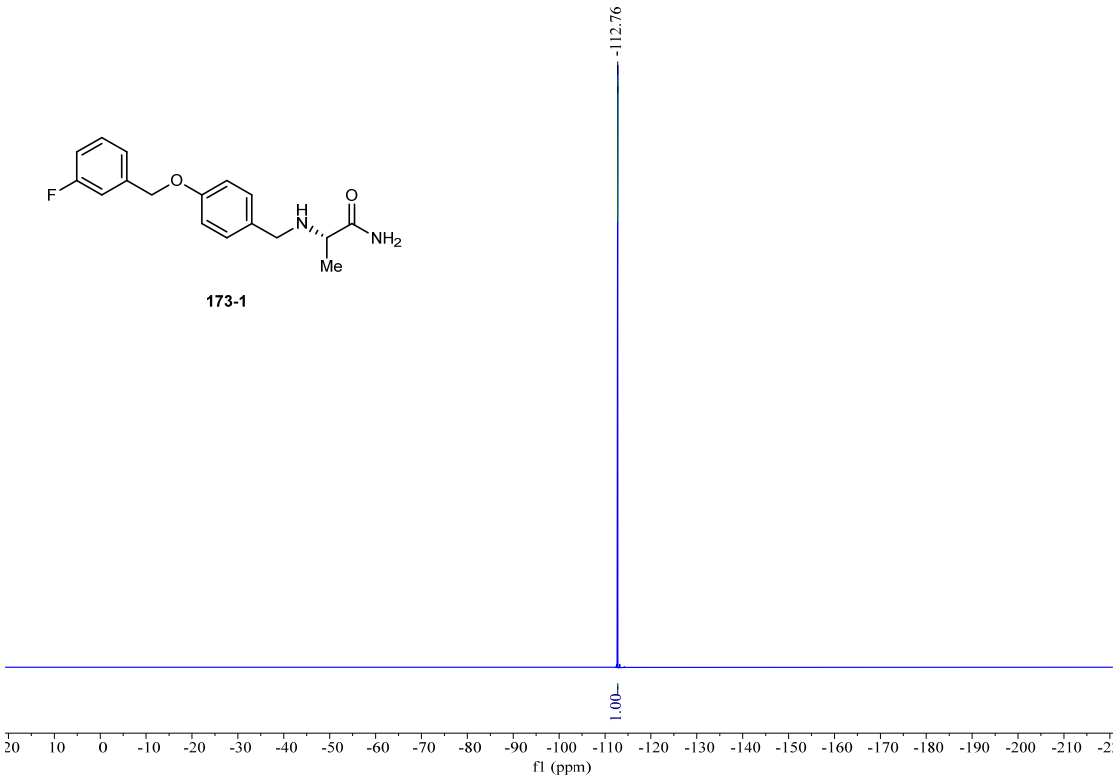

171.31
153.26 145.12 138.00 134.06 133.57 133.52 131.50 129.14 128.91 125.82 123.85 119.27 117.32
77.32 77.00 76.68
65.48
53.42 51.68 48.32 47.18
29.88
9.52
O
S
O
N
N
O
NHPh
Me
N
171
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


8.20 7.28 7.25 7.23 7.22 7.22 7.21 7.20 7.03 7.03 7.02 7.02 7.02 7.01 6.57 6.56 6.54 6.54 5.99 5.98 5.97 5.97 4.28 4.24 4.03 4.03 4.02 4.01 3.27 3.25 3.06 3.05 3.05 2.95 2.94 2.94 2.93 2.88 2.88 2.88 2.85 2.85 2.76 2.75 2.75 2.63 2.62 2.60 2.60 2.58 2.57 2.57 2.56 1.95 1.95 1.94 1.86 1.25 1.24
O
N
N
O
NHPh
Me
172
1.00 5.03 1.03 1.00 1.01 0.98 1.01 1.00 1.07 1.13 1.11 1.00 2.11 1.06 1.09 3.09
f1 (ppm)

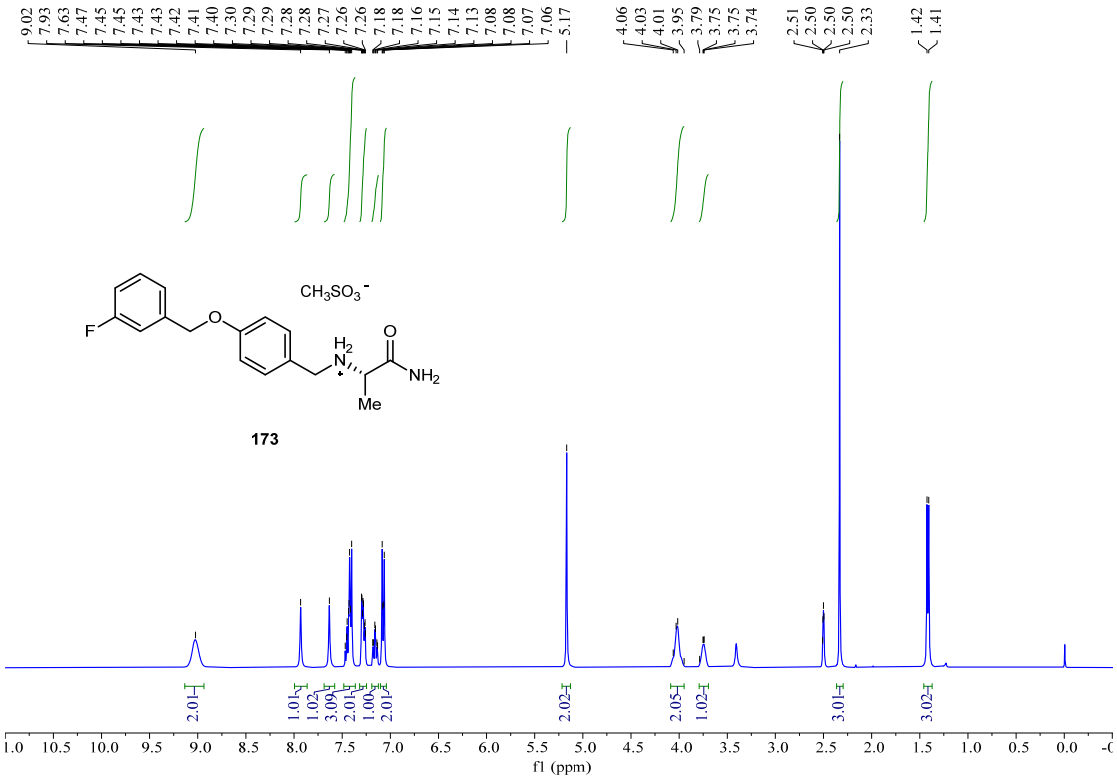

170.44 163.35 150.55 139.15 137.16 128.57 123.86 119.35 117.12 105.04 77.32 77.00 76.68 63.78 59.15 54.08 50.07 34.93 28.03 25.51 8.56
O
N
N
O
NHPh
Me
172
f1 (ppm)


7.35
7.34
7.33
7.32
7.31
7.23
7.22
7.21
7.21
7.19
7.17
7.16
7.16
7.15
7.14
7.13
7.13
7.09
7.03
7.00
7.00
6.94
6.93
6.93
6.91
6.91
6.06
3.04
3.75
3.72
3.68
3.65
3.26
3.24
3.22
3.21
1.89
1.34
1.32
0.00
F
O
H
N
O
NH2
Me
173-1
1.00
5.07
1.03
2.03
1.00
2.04
2.05
1.00
1.19
3.04
f1 (ppm)


178.25
164.12
161.67
157.66
139.56
139.49
132.10
130.10
130.02
129.24
122.63
122.60
114.82
114.62
114.21
113.99
77.32
77.00
76.68
69.12
69.10
57.52
51.84
19.57
F
O
H
N
O
NH2
Me
173-1
f1 (ppm)


-112.76
F
O
H
N
O
NH2
Me
1.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

173-1


9.02
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7.63
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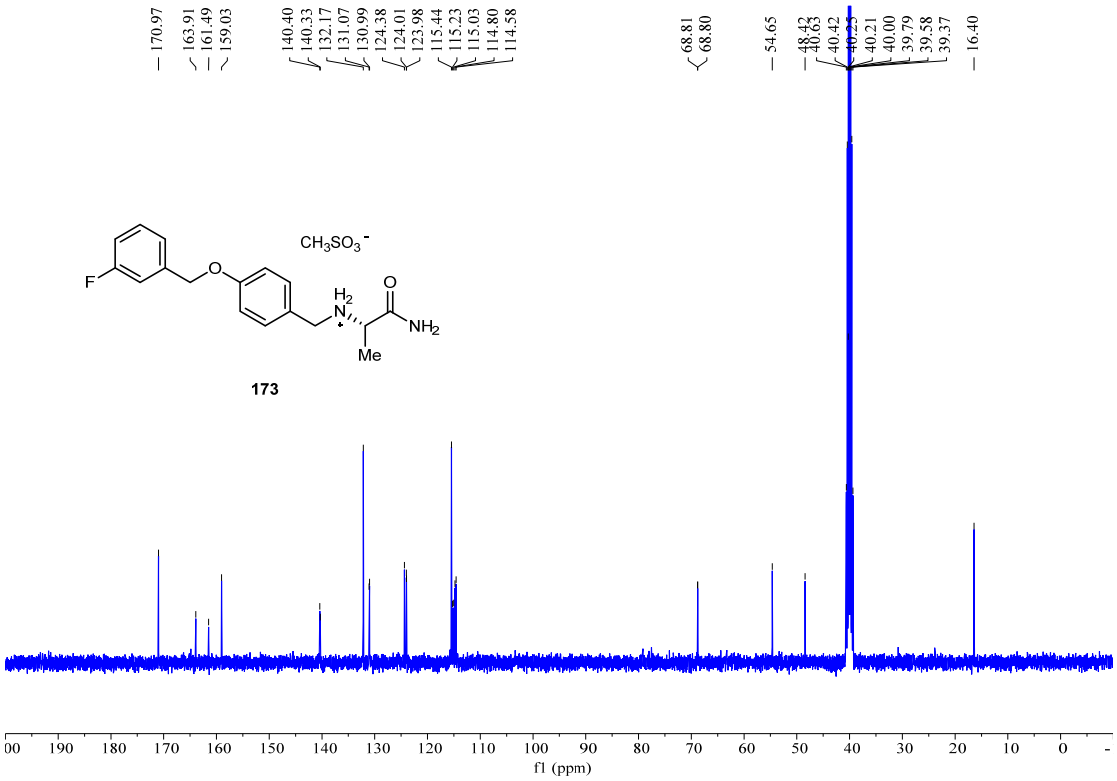
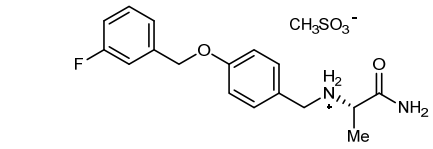
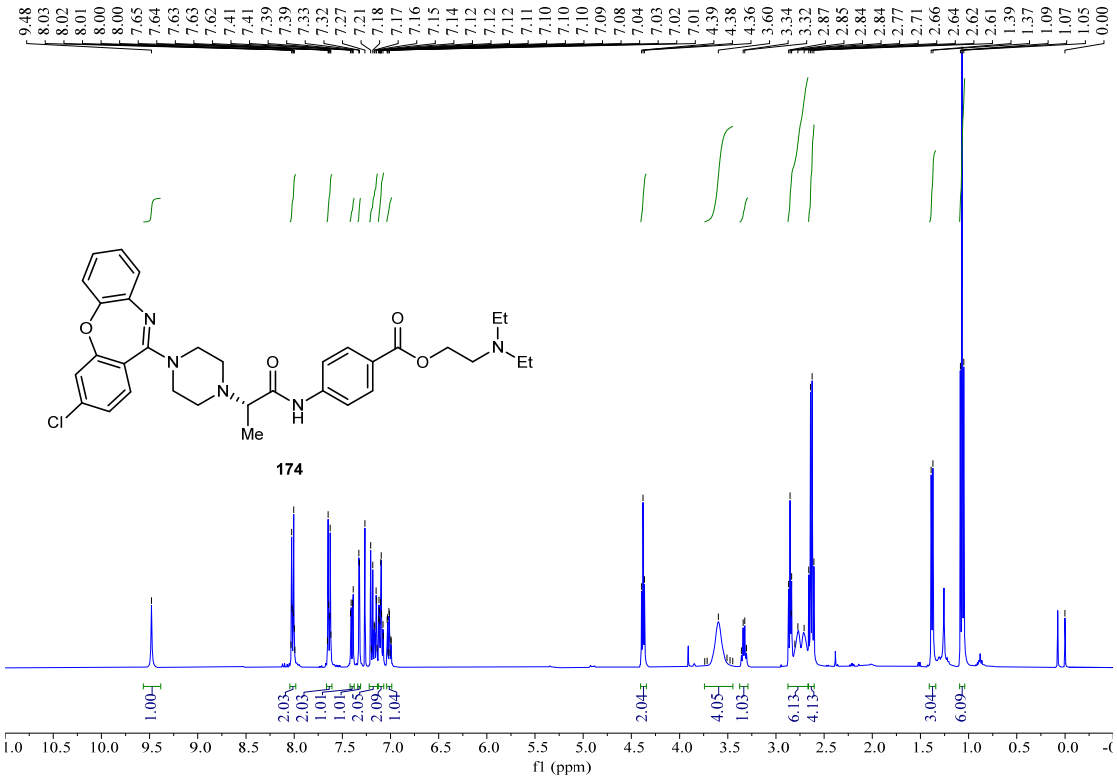
7.45
7.45
7.43
7.43
7.42
7.41
7.40
7.30
7.29
7.29
7.28
7.28
7.27
7.26
7.26
7.18
7.18
7.16
7.15
7.14
7.13
7.08
7.08
7.07
7.06
5.17
4.06
4.03
4.01
3.95
3.79
3.75
3.75
3.74
2.51
2.50
2.50
2.50
2.33
1.42
1.41
CH3SO3-
F
O
H2
N
O
NH2
Me
173
2.01
1.01
1.02
3.09
2.01
1.00
2.01
2.02
2.05
1.02
3.01
3.02
f1 (ppm)

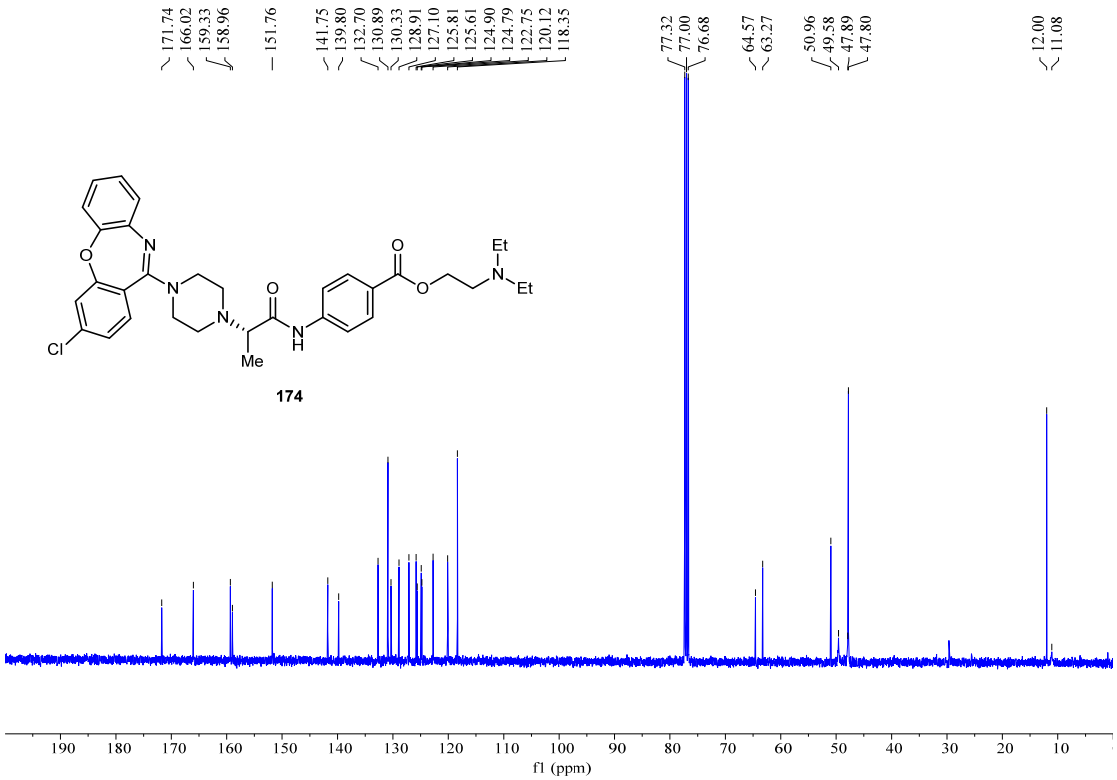

170.97
163.91
161.49
159.03
140.40
140.33
132.17
131.07
130.99
124.38
124.01
123.98
115.44
115.23
115.03
114.80
114.58
68.81
68.80
54.65
48.42
40.63
40.42
40.21
40.00
39.79
39.58
39.37
16.40
CH3SO3-
F
O
H2
N
O
NH2
Me
173
f1 (ppm)

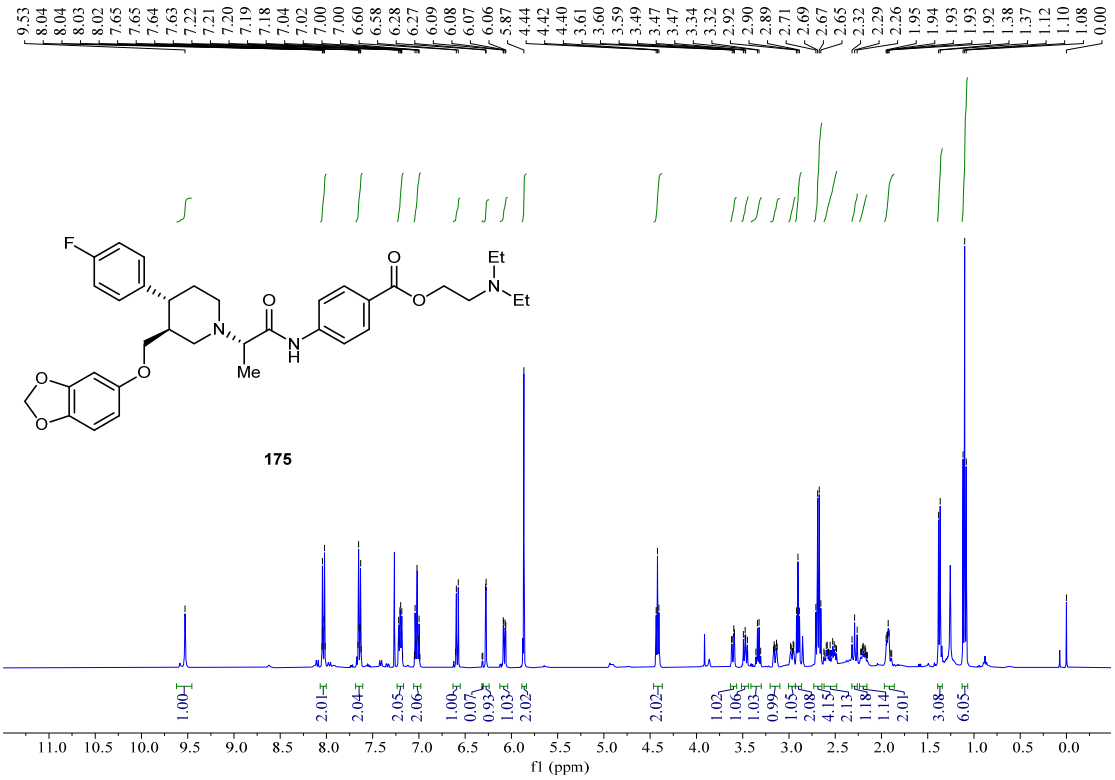

CH3SO3-
F
O
H2
N+
O
NH2
Me

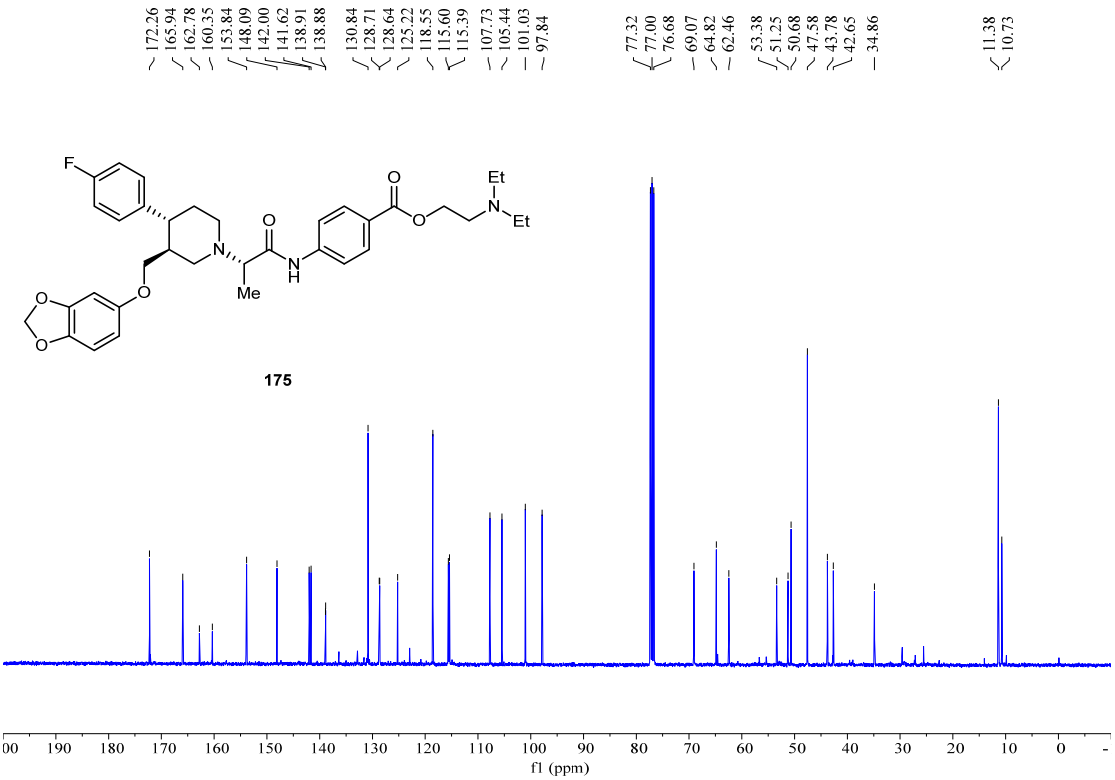
173

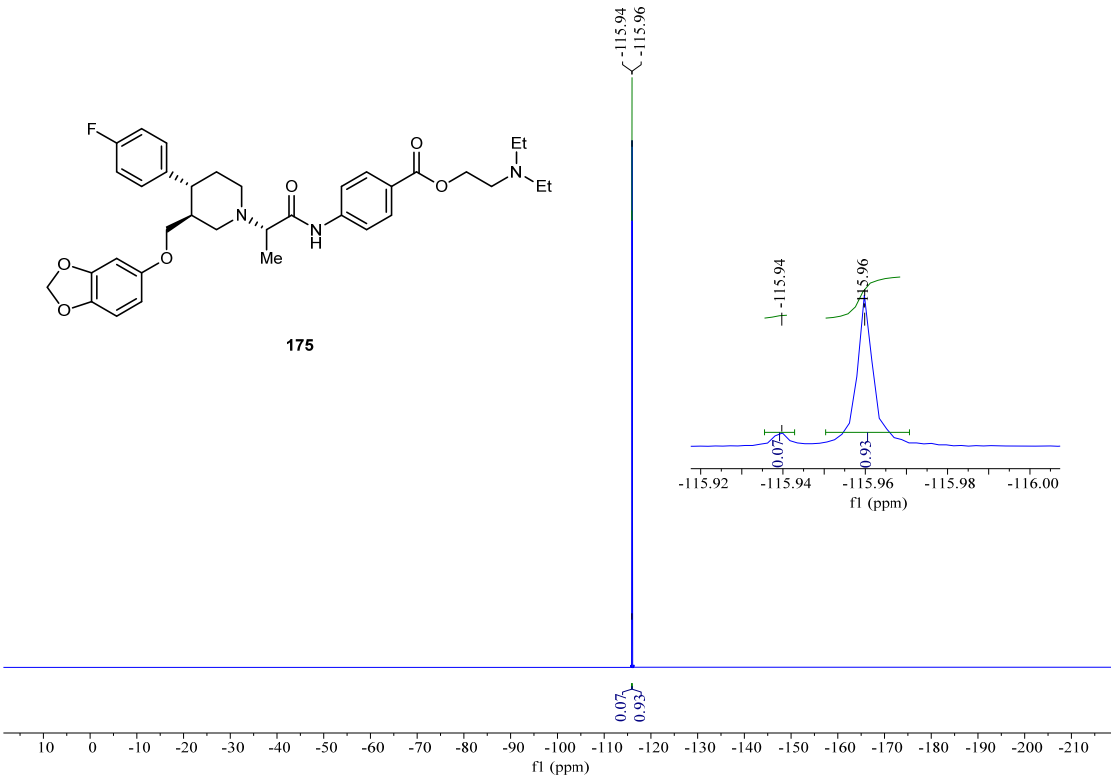
-113.11
1.00
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

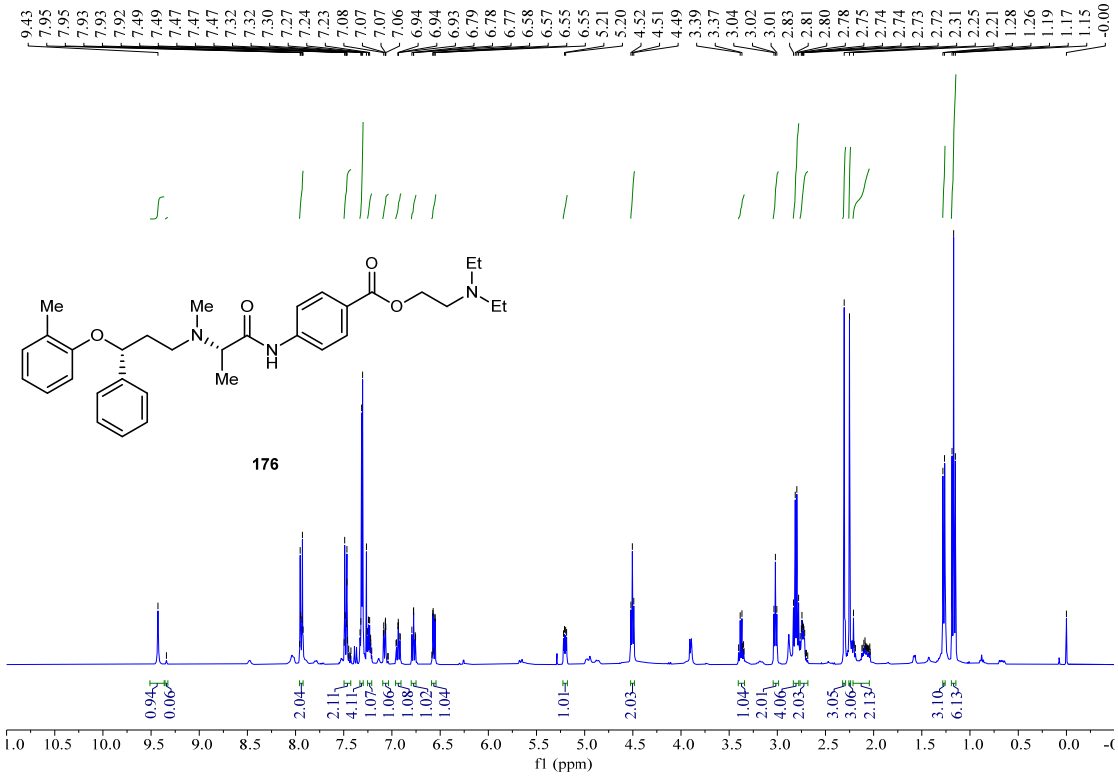

9.48 8.03 8.02 8.01 8.00 8.00 7.65 7.64 7.63 7.63 7.62 7.41 7.41 7.39 7.39 7.33 7.32 7.27 7.21 7.18 7.17 7.16 7.15 7.14 7.12 7.12 7.12 7.11 7.10 7.10 7.10 7.09 7.08 7.04 7.03 7.02 7.01 4.39 4.38 4.36 3.60 3.34 3.32 2.87 2.85 2.84 2.84 2.77 2.71 2.66 2.64 2.62 2.61 1.39 1.37 1.09 1.07 1.05 0.00
Cl
N
O
N
N
O
N
H
Me
O
O
Et
N
Et
174
1.00 2.03 2.03 1.01 1.01 2.05 2.09 1.04 2.04 4.05 1.03 6.13 4.13 3.04 6.09
f1 (ppm)

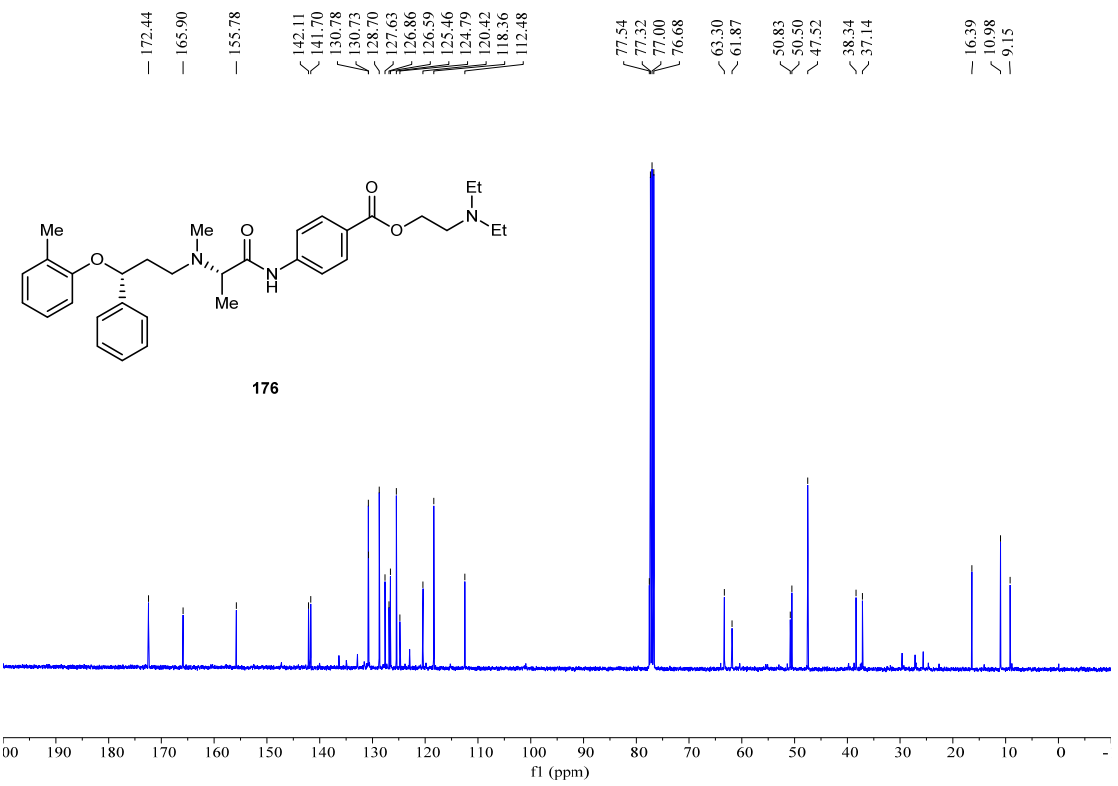

171.74 166.02 159.33 158.96 151.76 141.75 139.80 132.70 130.89 130.33 128.91 127.10 125.81 125.61 124.90 124.79 122.75 120.12 118.35 77.32 77.00 76.68 64.57 63.27 50.96 49.58 47.89 47.80 12.00 11.08
Cl
N
O
N
N
O
N
H
Me
O
O
Et
N
Et
174
f1 (ppm)

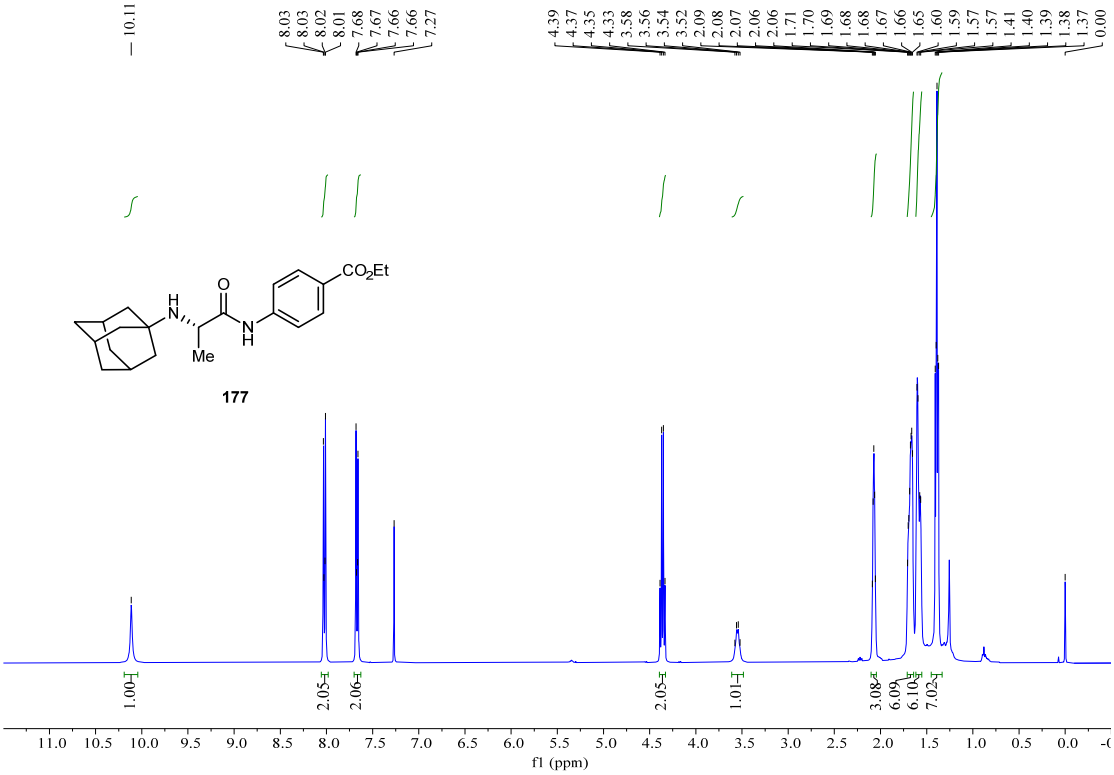

9.53 8.04 8.04 8.03 8.02 7.65 7.65 7.64 7.63 7.22 7.21 7.20 7.19 7.18 7.04 7.02 7.00 7.00 6.60 6.58 6.28 6.27 6.09 6.08 6.07 6.06 5.87 4.44 4.42 4.40 3.61 3.60 3.59 3.49 3.47 3.47 3.34 3.32 2.92 2.90 2.89 2.71 2.69 2.67 2.65 2.32 2.29 2.26 1.95 1.94 1.93 1.93 1.92 1.38 1.37 1.12 1.10 1.08 0.00
F
O
Et
N
Et
O
O
N
O
N
H
Me
O
O
O
175
1.00 2.01 2.04 2.05 2.06 1.00 0.07 0.93 1.03 2.02 2.02 1.02 1.06 1.03 0.99 1.05 2.08 4.15 2.13 1.18 1.14 2.01 3.08 6.05
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

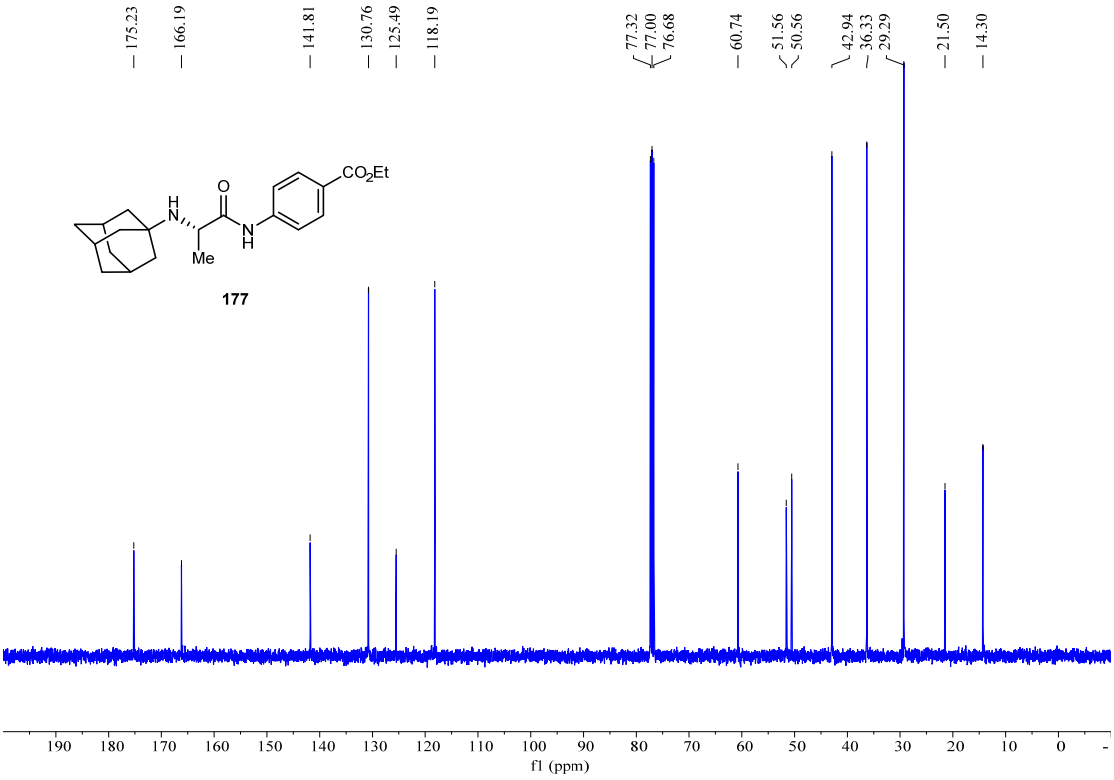

172.26 165.94 162.78 160.35 153.84 148.09 142.00 141.62 138.91 138.88 130.84 128.71 128.64 125.22 118.55 115.60 115.39 107.73 105.44 101.03 97.84 77.32 77.00 76.68 69.07 64.82 62.46 53.38 51.25 50.68 47.58 43.78 42.65 34.86 11.38 10.73
F
O
Et
N
Et
O
O
N
O
N
H
Me
O
O
O
175
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -
f1 (ppm)

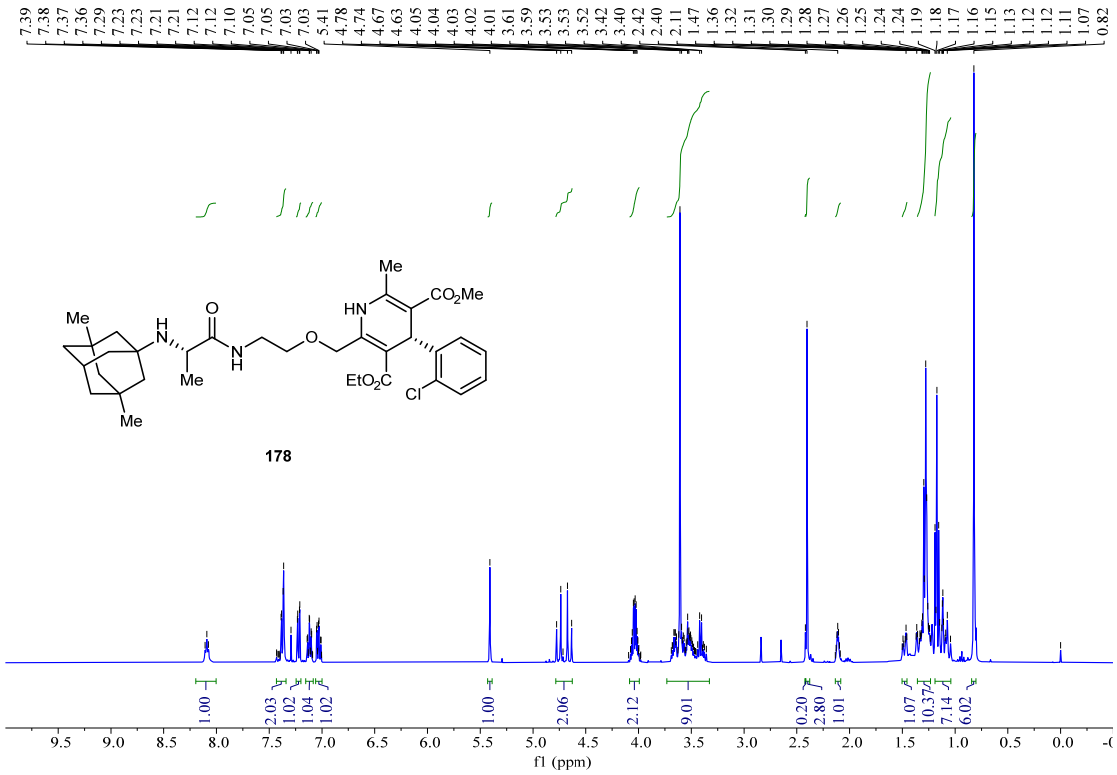

F
O
Et
N
Et
O
O
N
H
N
Me
O
O
O
175
-115.94
-115.96
-115.94
-115.96
0.07
0.93
-115.92
-115.94
-115.96
-115.98
-116.00
f1 (ppm)
0.07
0.93
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.43 7.95 7.95 7.93 7.93 7.92 7.49 7.49 7.47 7.47 7.47 7.32 7.30 7.27 7.24 7.23 7.08 7.07 7.07 7.06 6.94 6.94 6.93 6.79 6.78 6.77 6.58 6.57 6.55 5.21 5.20 4.52 4.51 4.49 3.39 3.37 3.04 3.02 3.01 2.83 2.81 2.80 2.78 2.75 2.74 2.74 2.73 2.72 2.31 2.25 2.21 1.28 1.26 1.19 1.17 1.15 -0.00
Me
O
Me
N
O
N
H
Me
O
O
Et
N
Et
176
0.94 0.06 2.04 2.11 4.11 1.07 1.06 1.08 1.02 1.04 1.01 2.03 1.04 2.01 4.06 2.03 3.05 3.06 2.13 3.10 6.13
fl (ppm)


172.44 165.90 155.78 142.11 141.70 130.78 130.73 128.70 127.63 126.86 126.59 125.46 124.79 120.42 118.36 112.48 77.54 77.32 77.00 76.68 63.30 61.87 50.83 50.50 47.52 38.34 37.14 16.39 10.98 9.15
Me
O
Me
N
O
N
H
Me
O
O
Et
N
Et
176
fl (ppm)


10.11
8.03
8.03
8.02
8.01
7.68
7.67
7.66
7.66
7.27
4.39
4.37
4.35
4.33
3.58
3.56
3.54
3.52
2.09
2.08
2.07
2.06
2.06
1.71
1.70
1.69
1.68
1.68
1.67
1.66
1.65
1.60
1.59
1.57
1.57
1.41
1.40
1.39
1.38
1.37
0.00
CO2Et
N
H
O
N
H
Me
177
1.00
2.05
2.06
2.05
1.01
3.08
6.09
6.10
7.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


175.23
166.19
141.81
130.76
125.49
118.19
77.32
77.00
76.68
60.74
51.56
50.56
42.94
36.33
29.29
21.50
14.30
CO2Et
N
H
O
N
H
Me
177
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


7.39 7.38 7.37 7.36 7.29 7.23 7.23 7.21 7.21 7.12 7.12 7.10 7.05 7.05 7.03 7.03 5.41 4.78 4.74 4.67 4.63 4.05 4.04 4.03 4.02 4.01 3.61 3.59 3.53 3.53 3.52 3.42 3.40 2.42 2.40 2.11 1.47 1.36 1.32 1.31 1.30 1.29 1.28 1.27 1.26 1.25 1.24 1.24 1.19 1.18 1.17 1.16 1.15 1.13 1.12 1.12 1.11 1.07 0.82
Me
CO2Me
HN
O
H
N
Me
N
H
O
Me
EtO2C
Cl
Me
Me
178
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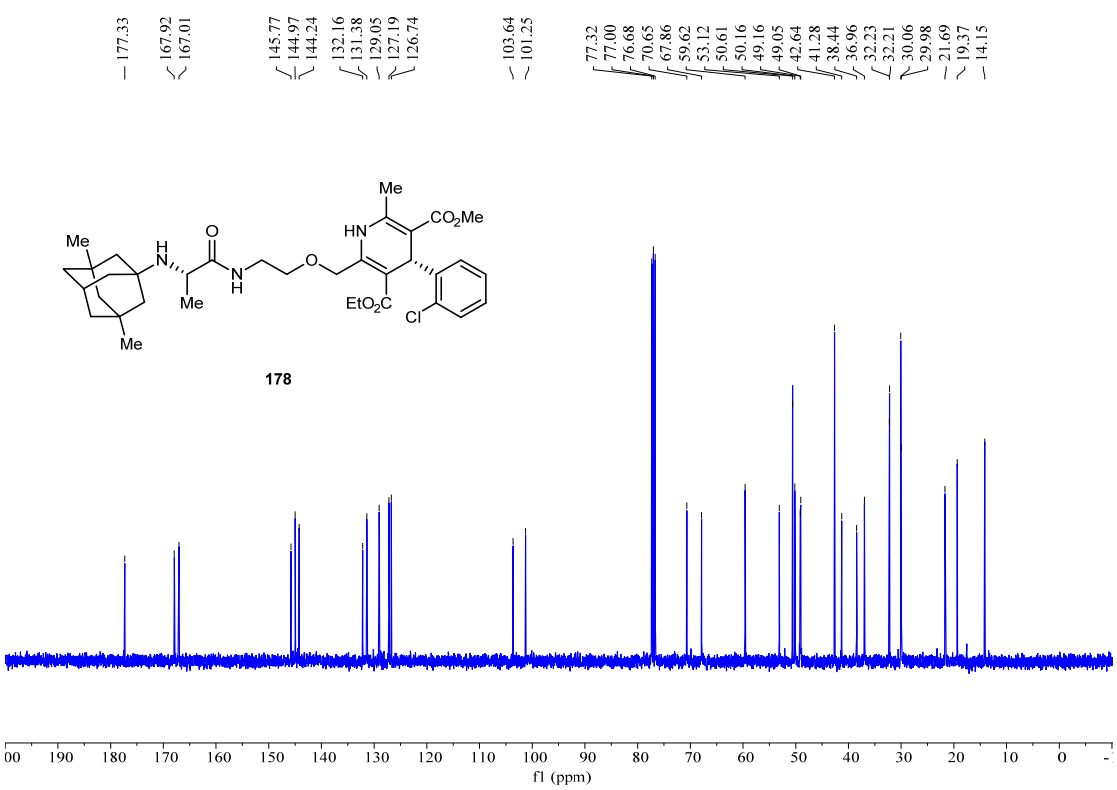
f1 (ppm)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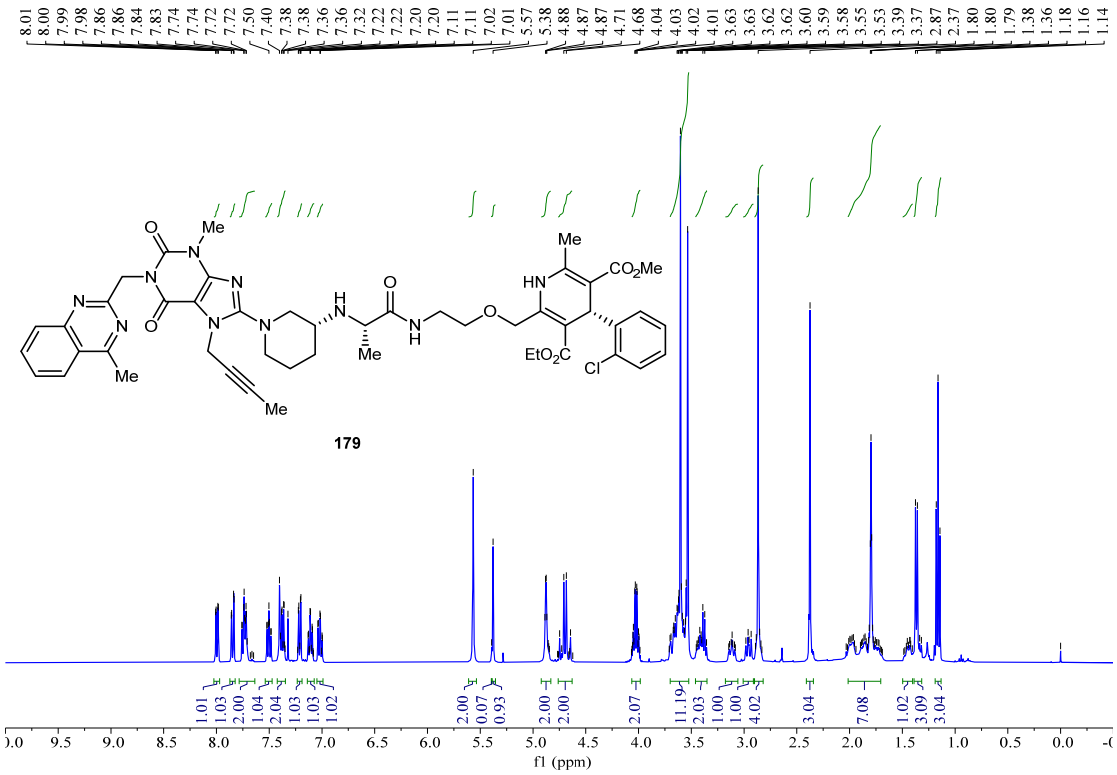
167.01
145.77
144.97
144.24
132.16
131.38
129.05
127.19
126.74
103.64
101.25
77.32
77.00
76.68
70.65
67.86
59.62
53.12
50.61
50.16
49.16
49.05
42.64
41.28
38.44
36.96
32.23
32.21
30.06
29.98
21.69
19.37
14.15
Me
CO2Me
HN
O
H
N
Me
N
H
O
Me
EtO2C
Cl
Me
Me
178
f1 (ppm)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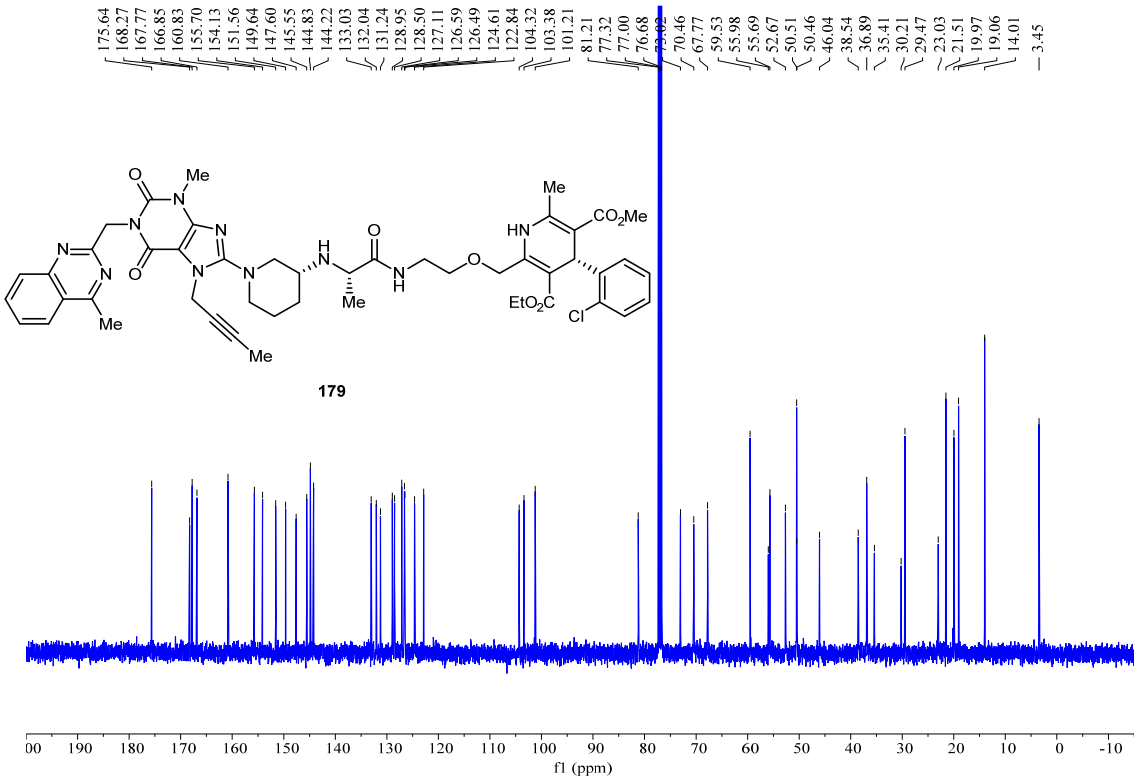
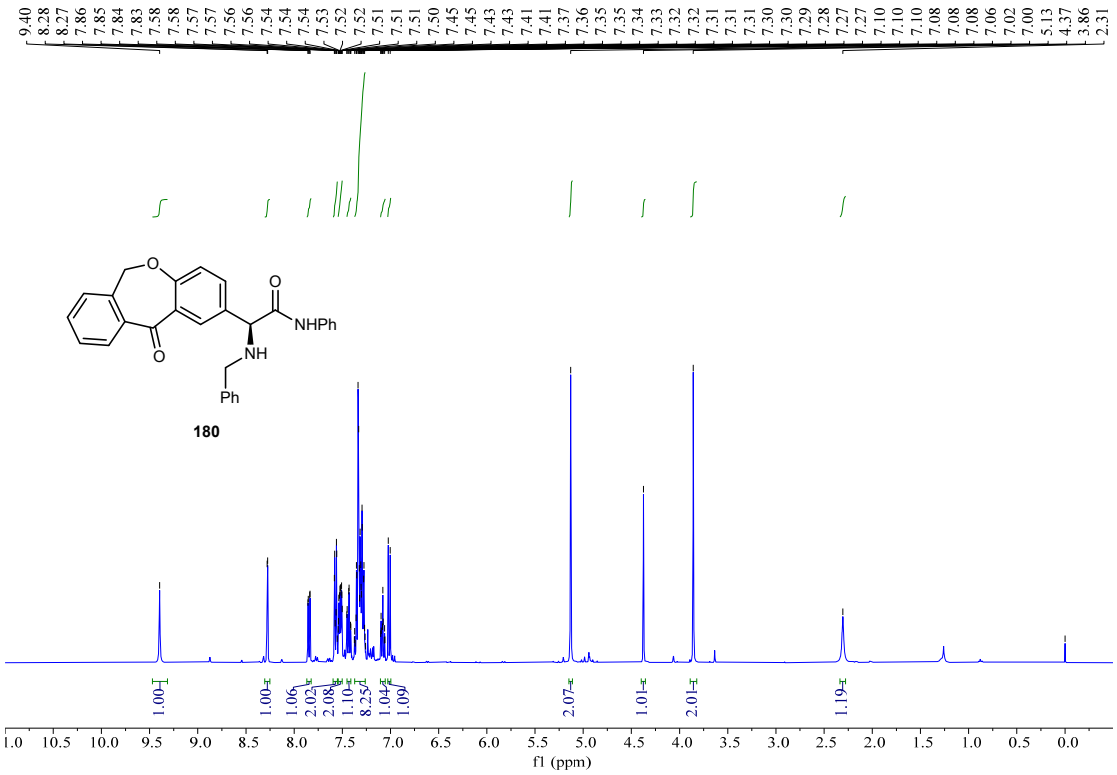
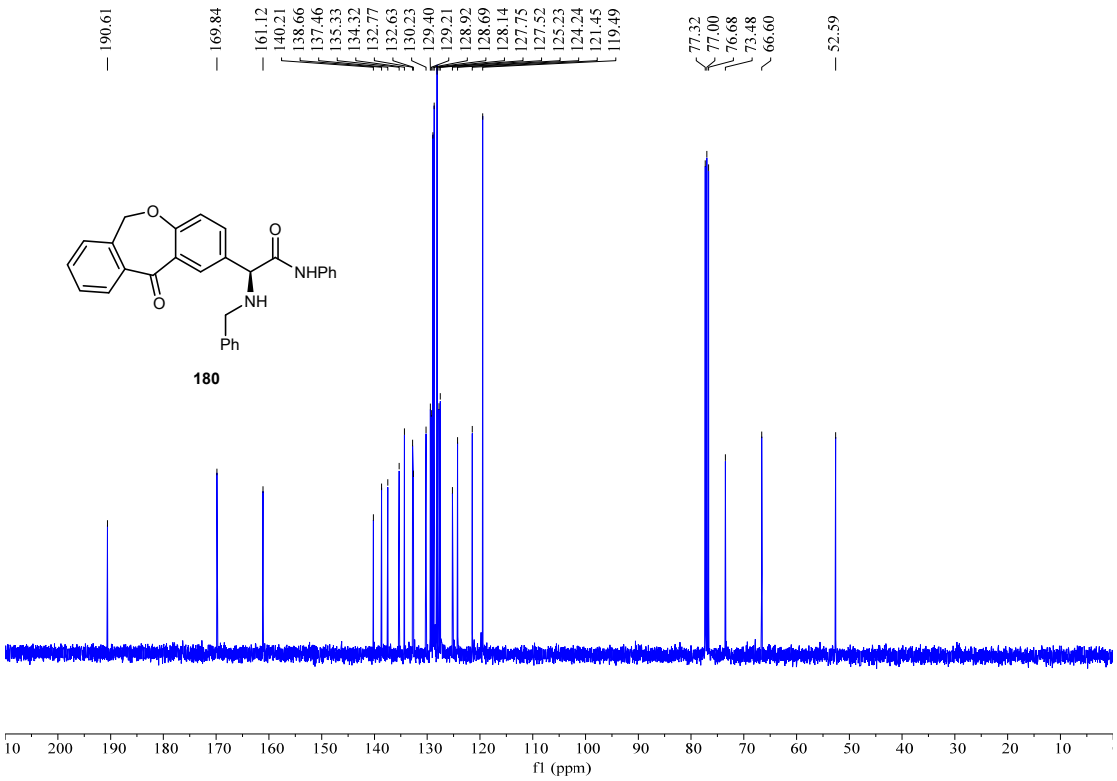
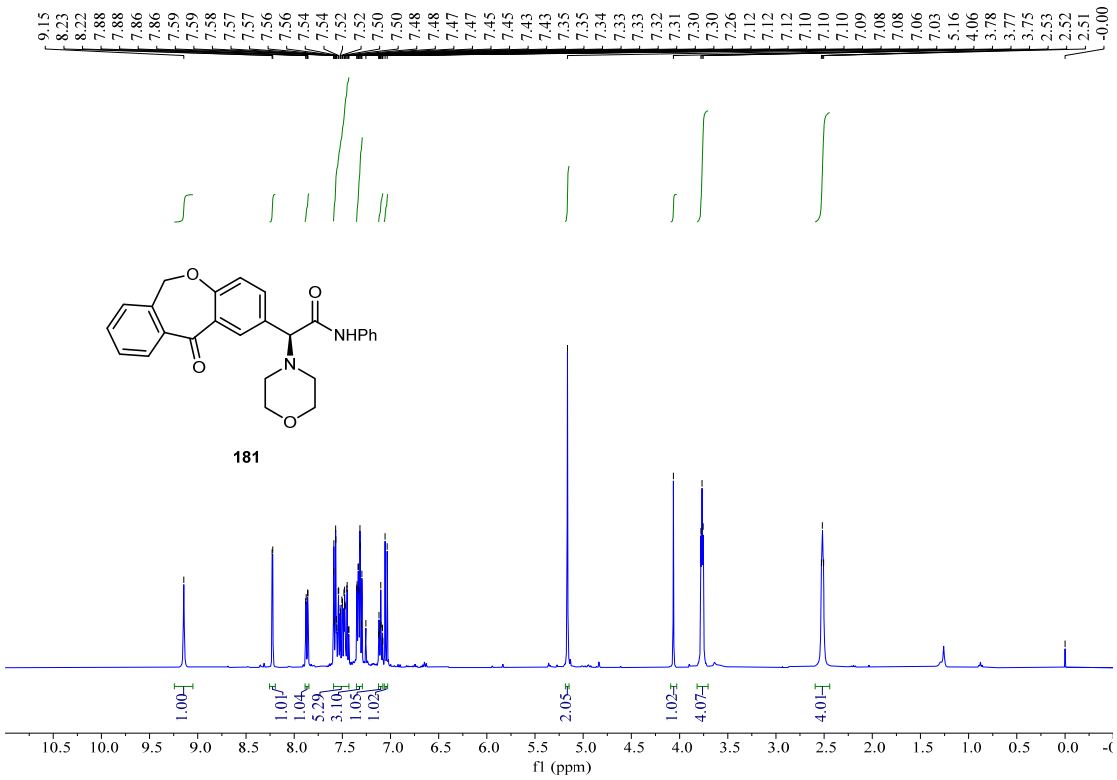
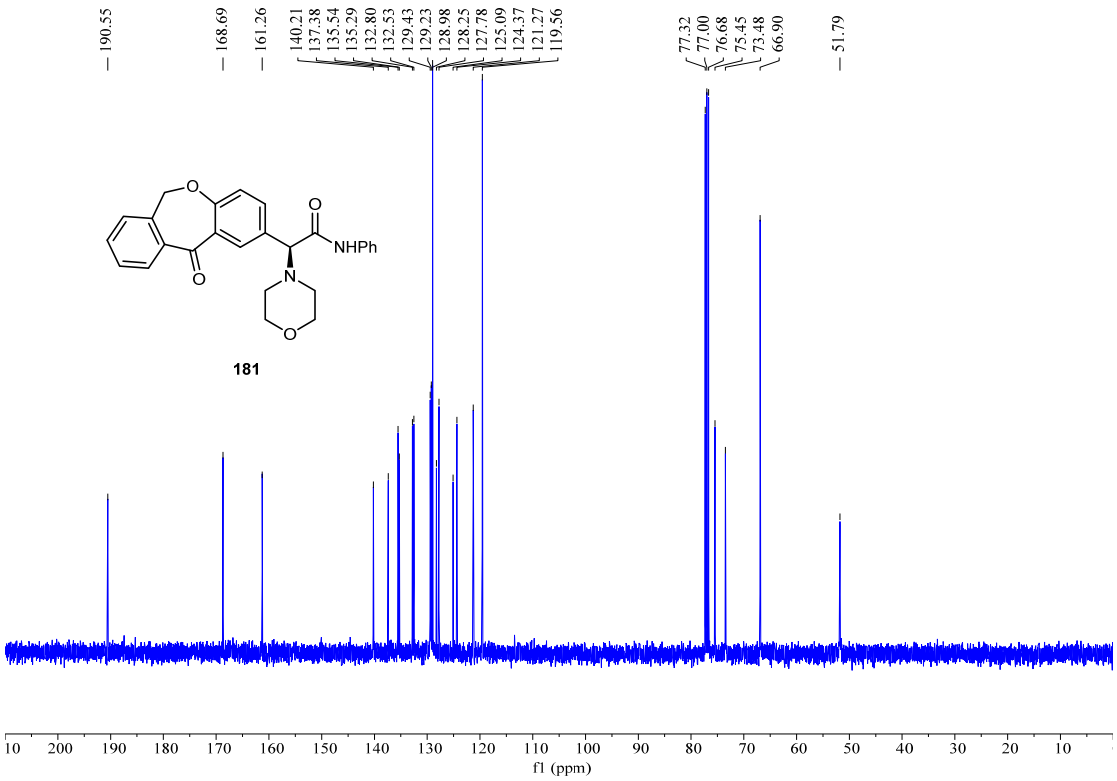
f1 (ppm)

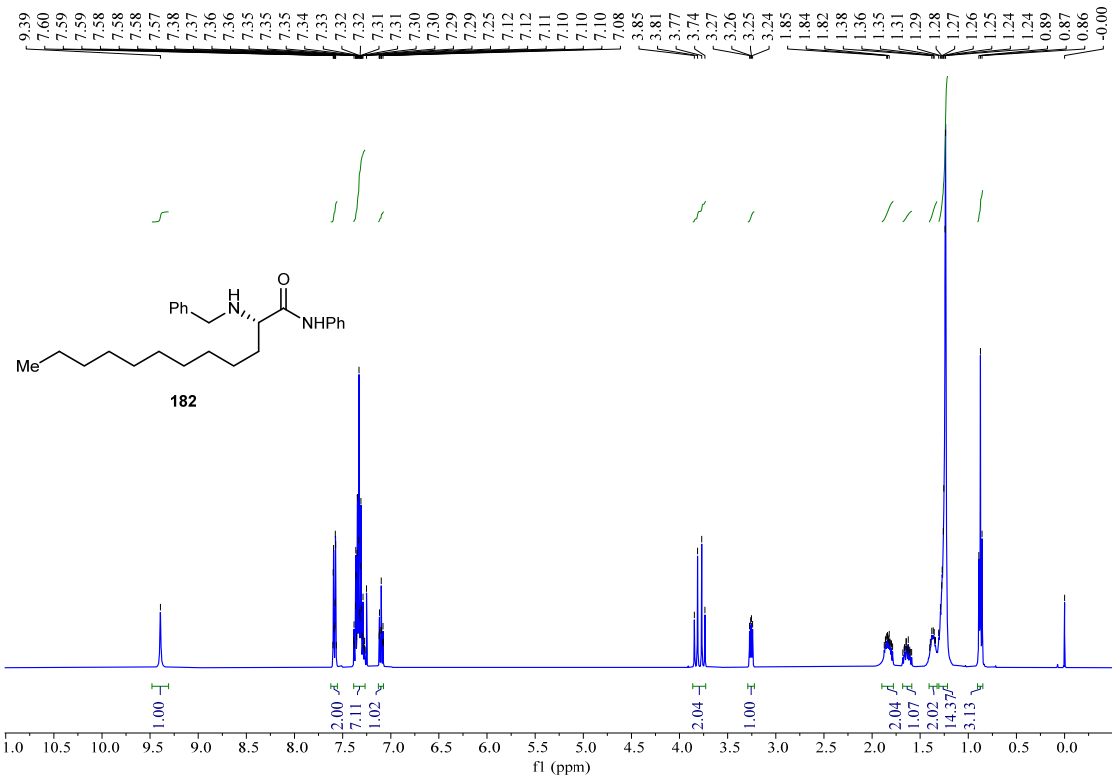

179
f1 (ppm)

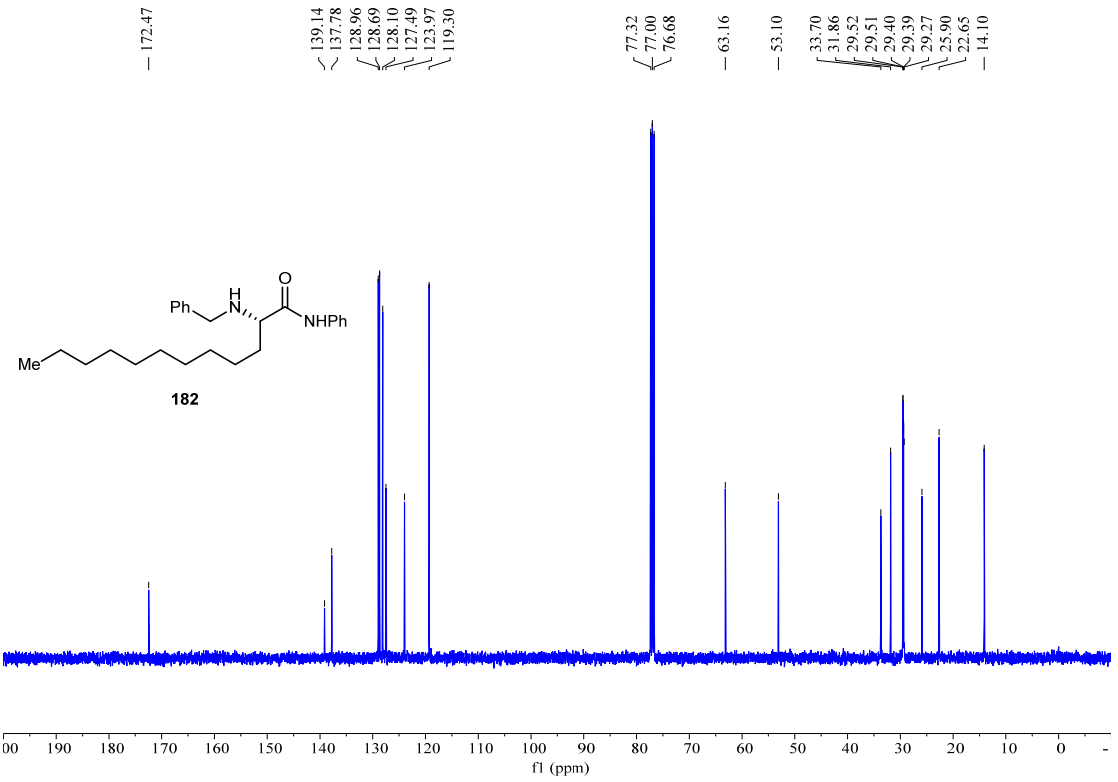

9.40 8.28 8.27 7.86 7.85 7.84 7.83 7.58 7.58 7.57 7.57 7.56 7.56 7.54 7.54 7.54 7.53 7.52 7.52 7.51 7.51 7.51 7.50 7.45 7.45 7.43 7.43 7.41 7.41 7.37 7.36 7.35 7.35 7.34 7.33 7.32 7.32 7.31 7.31 7.31 7.30 7.30 7.29 7.28 7.27 7.27 7.10 7.10 7.10 7.08 7.08 7.08 7.06 7.02 7.00 5.13 4.37 3.86 2.31
O
O
NHPh
NH
Ph
180
1.00 1.00 1.06 2.02 2.08 1.10 8.25 1.04 1.09 2.07 1.01 2.01 1.19
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

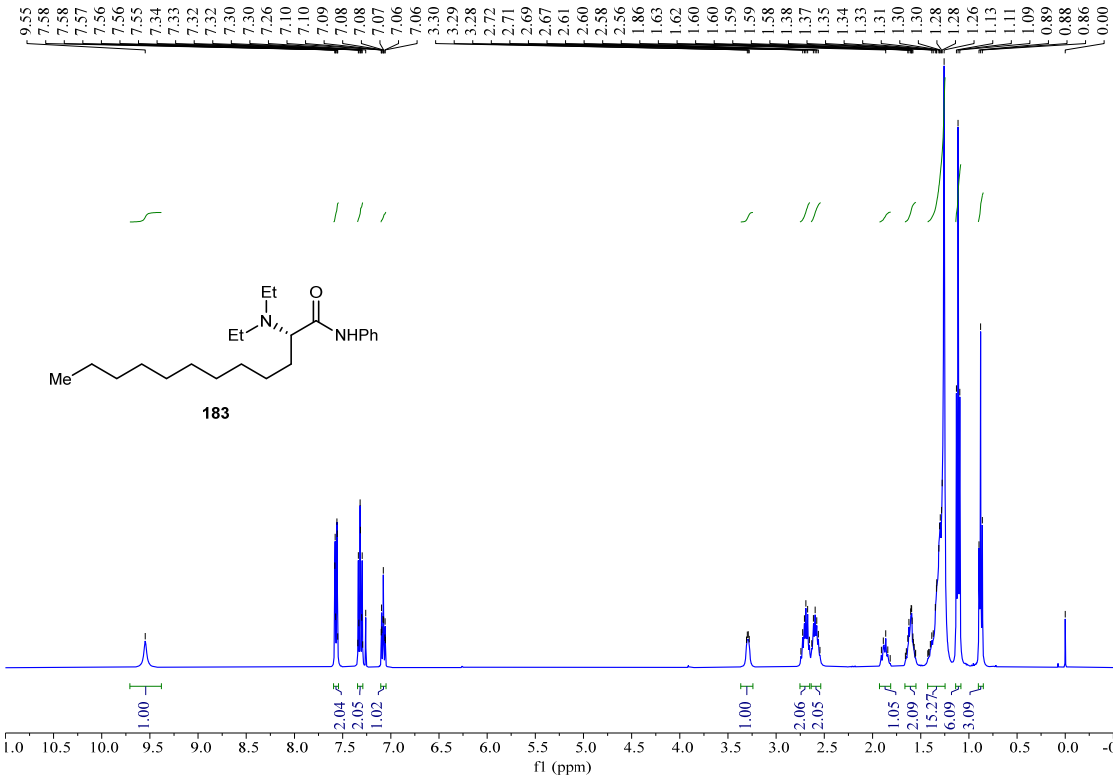

190.61 169.84 161.12 140.21 138.66 137.46 135.33 134.32 132.77 132.63 130.23 129.40 129.21 128.92 128.69 128.14 127.75 127.52 125.23 124.24 121.45 119.49 77.32 77.00 76.68 73.48 66.60 52.59
O
O
NHPh
NH
Ph
180
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

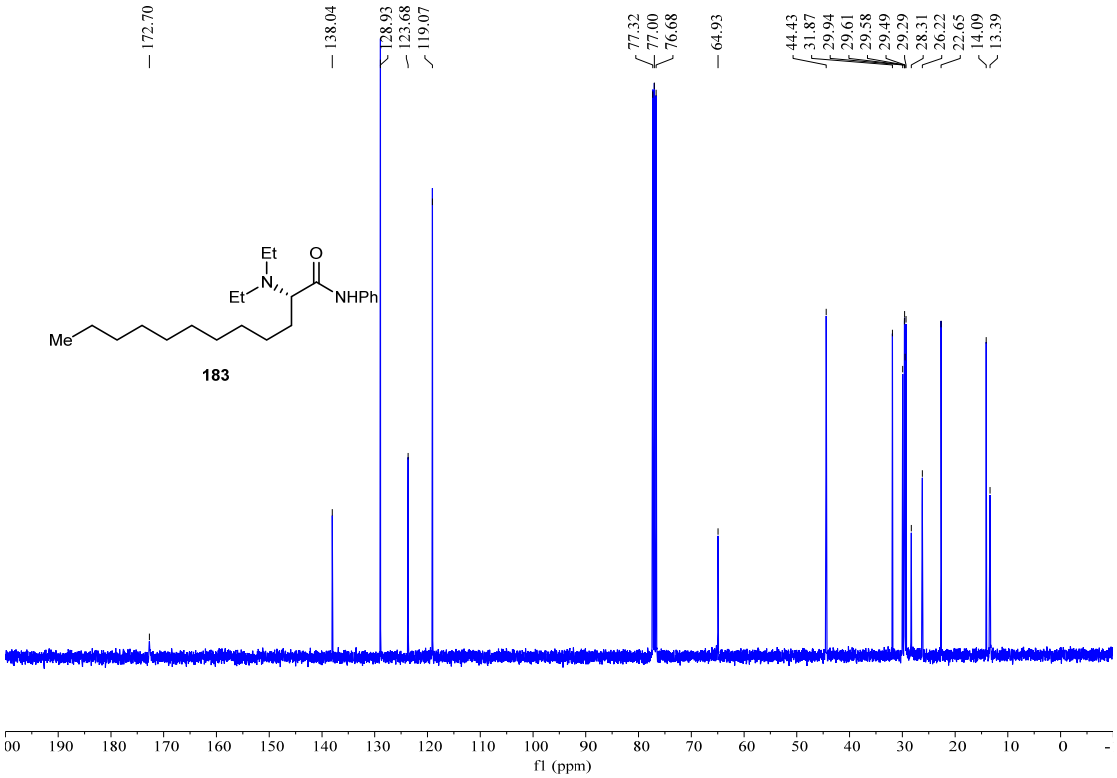

9.15 8.23 8.22 7.88 7.88 7.86 7.86 7.59 7.59 7.58 7.57 7.57 7.56 7.56 7.54 7.54 7.52 7.52 7.50 7.50 7.48 7.48 7.47 7.47 7.45 7.45 7.43 7.43 7.35 7.35 7.34 7.33 7.33 7.32 7.31 7.30 7.30 7.26 7.12 7.12 7.10 7.10 7.10 7.09 7.08 7.08 7.06 7.03 5.16 4.06 3.78 3.77 3.75 2.53 2.52 2.51 -0.00
NHPh
181
1.00 1.01 1.04 5.29 3.10 1.05 1.02 2.05 1.02 4.07 4.01
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

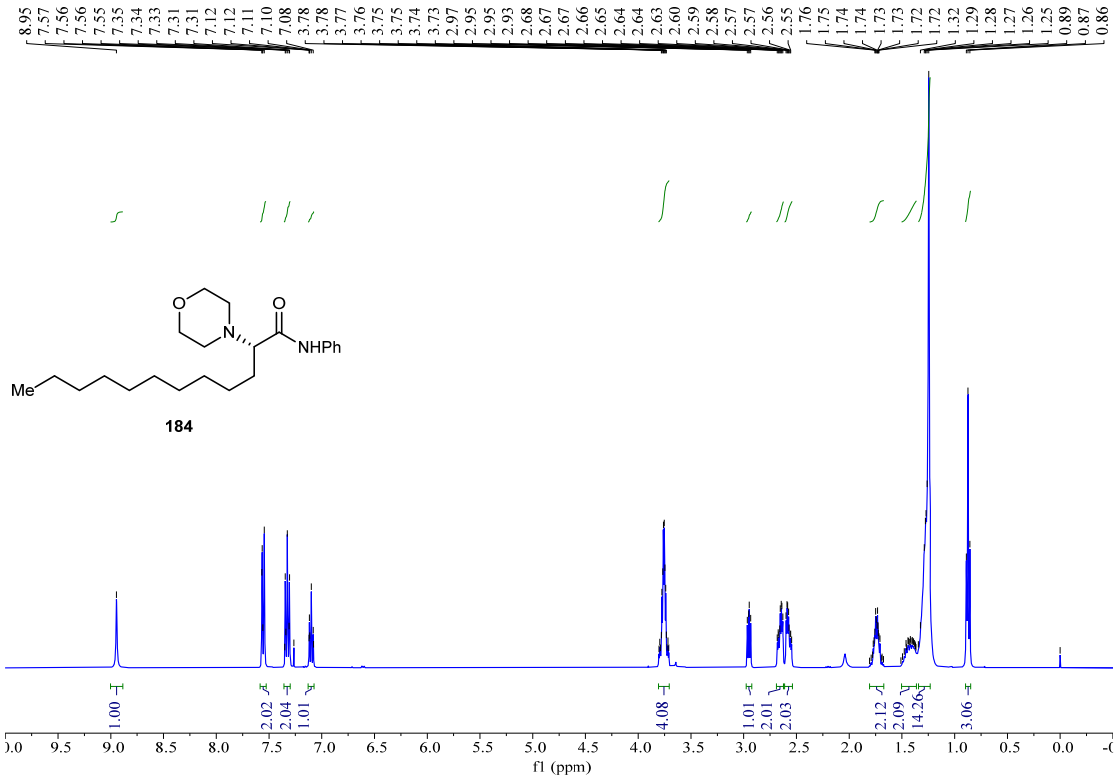

190.55 168.69 161.26 140.21 137.38 135.54 135.29 132.80 132.53 129.43 129.23 128.98 128.25 127.78 125.09 124.37 121.27 119.56 77.32 77.00 76.68 75.45 73.48 66.90 51.79
NHPh
181
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

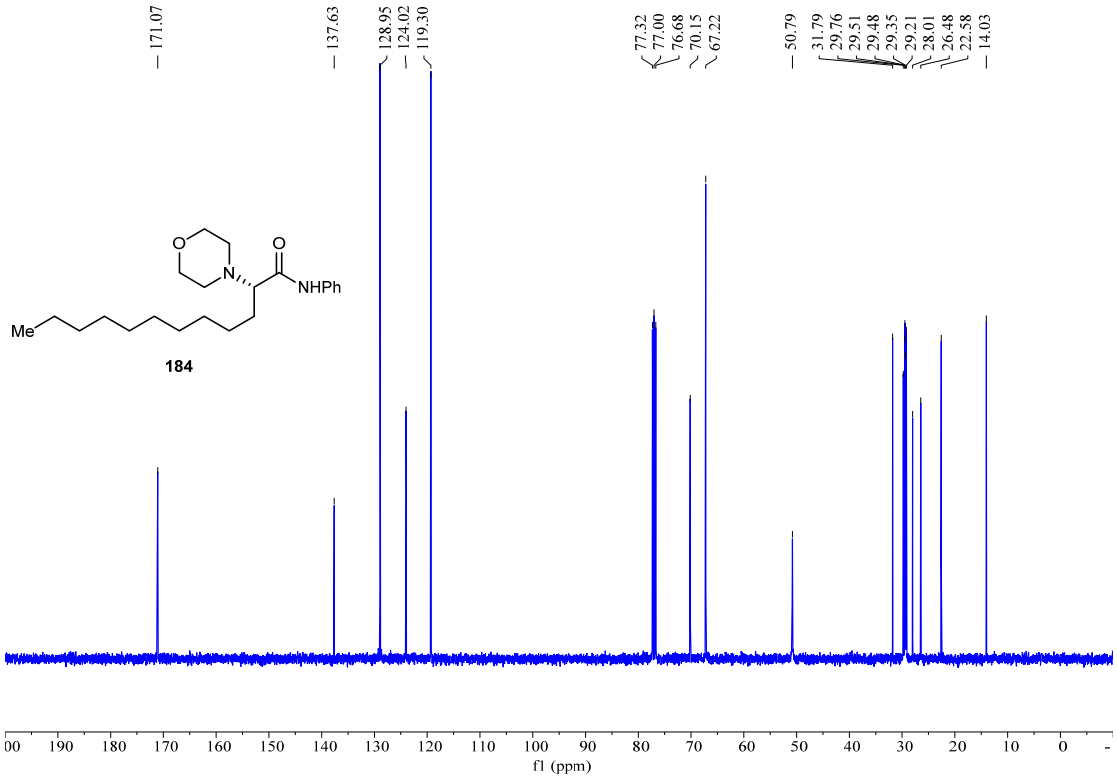

9.39 7.60 7.59 7.59 7.58 7.58 7.58 7.57 7.38 7.37 7.36 7.36 7.35 7.35 7.35 7.34 7.33 7.32 7.32 7.31 7.31 7.30 7.30 7.29 7.29 7.25 7.12 7.12 7.11 7.10 7.10 7.10 7.08 3.85 3.81 3.77 3.74 3.27 3.26 3.25 3.24 1.85 1.84 1.82 1.38 1.36 1.35 1.31 1.29 1.28 1.27 1.26 1.25 1.24 1.24 0.89 0.87 0.86 -0.00
Ph
N
H
O
NHPh
Me
182
1.00 2.00 7.11 1.02 2.04 1.00 2.04 1.07 2.02 14.37 3.13
1.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

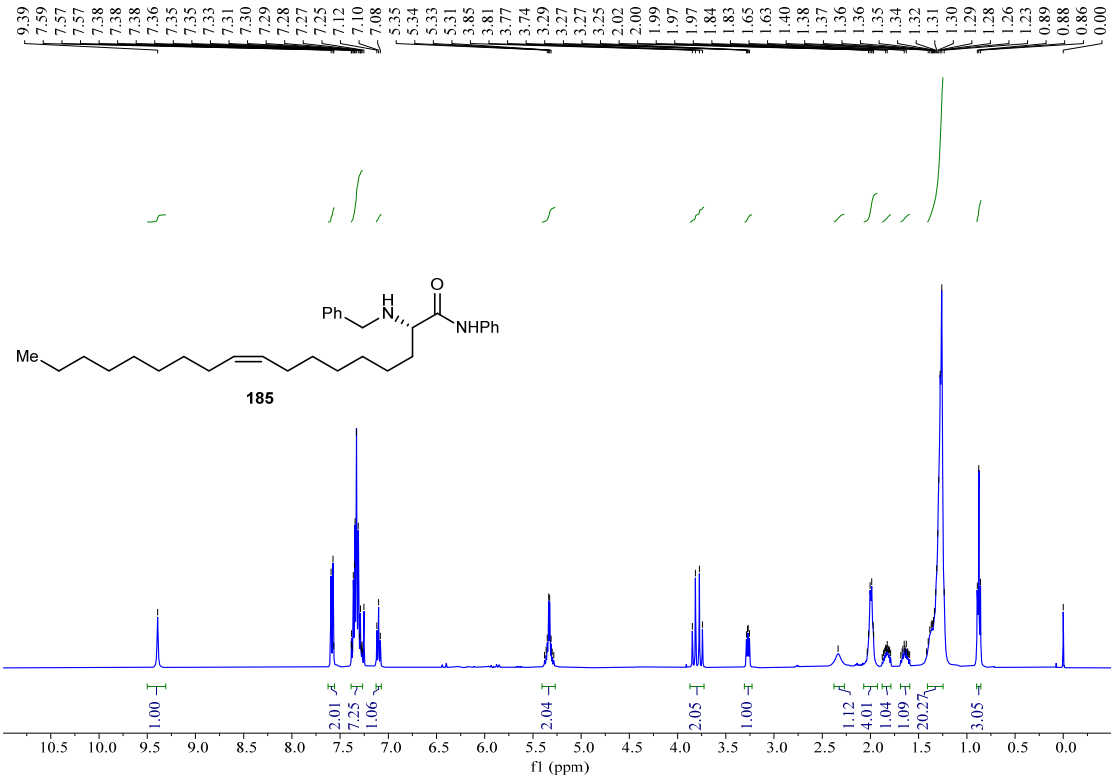

172.47 139.14 137.78 128.96 128.69 128.10 127.49 123.97 119.30 77.32 77.00 76.68 63.16 53.10 33.70 31.86 29.52 29.51 29.40 29.39 29.27 25.90 22.65 14.10
Ph
N
H
O
NHPh
Me
182
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -
f1 (ppm)

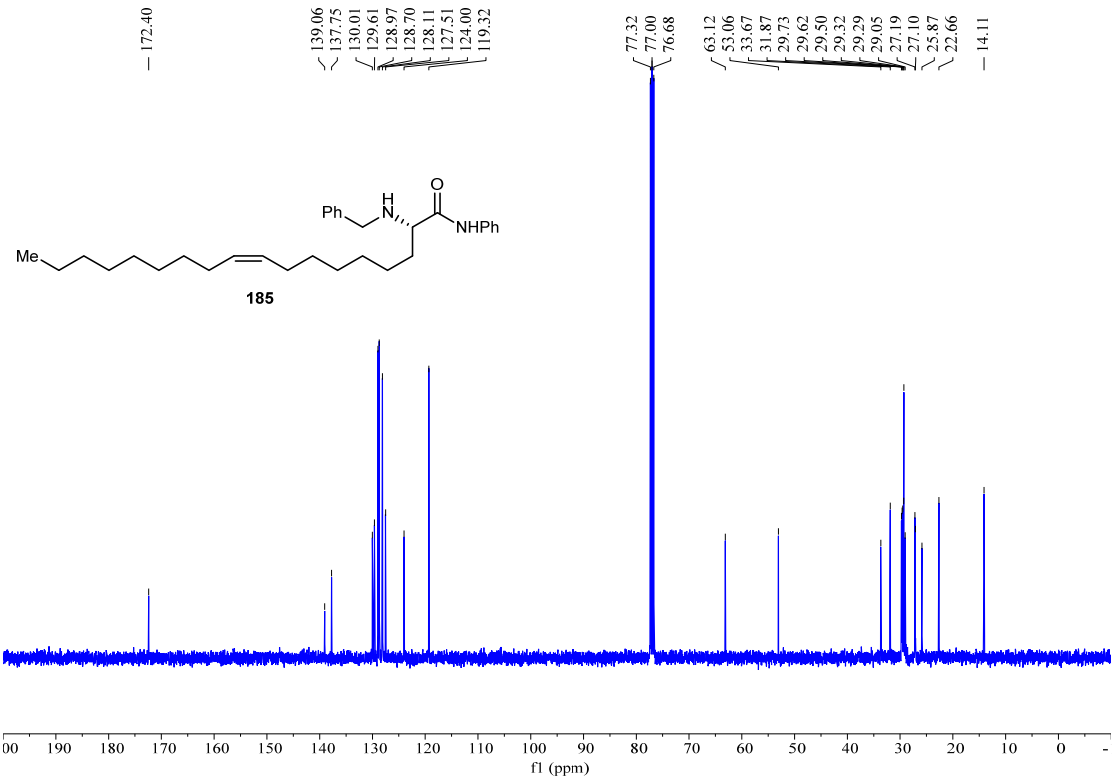

Et
O
N
Et
NHPh
Me
183
f1 (ppm)

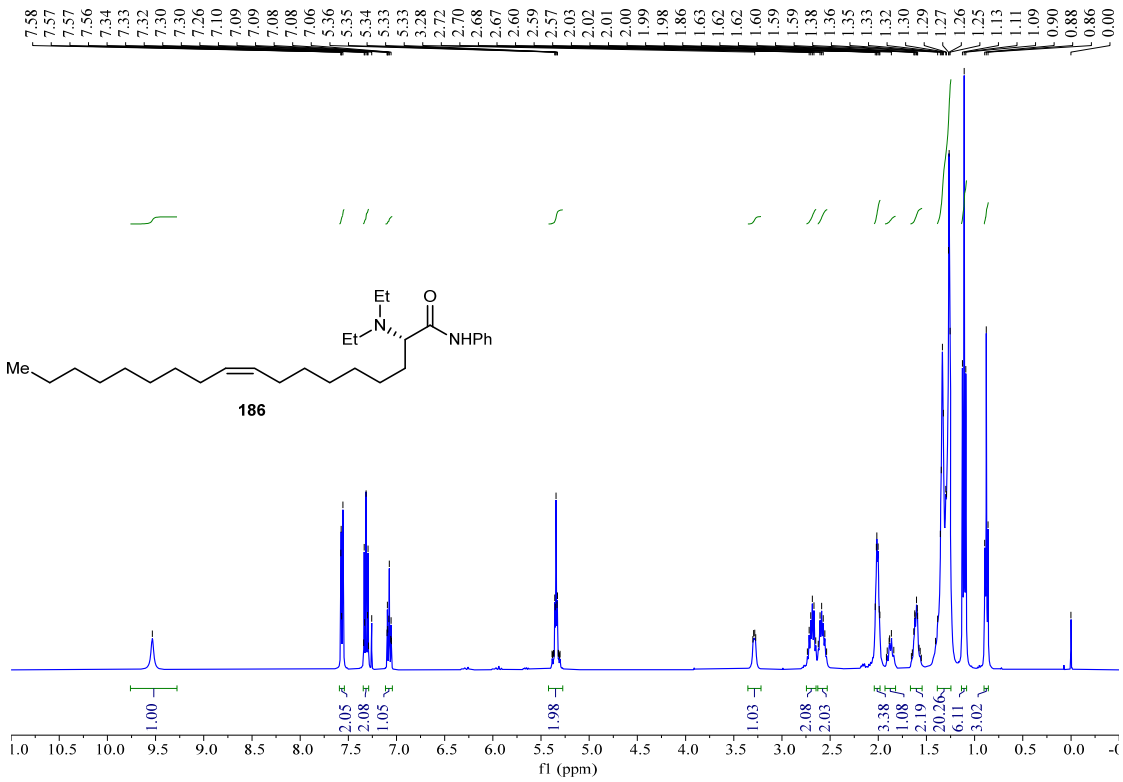

Et
O
N
Et
NHPh
Me
183
f1 (ppm)

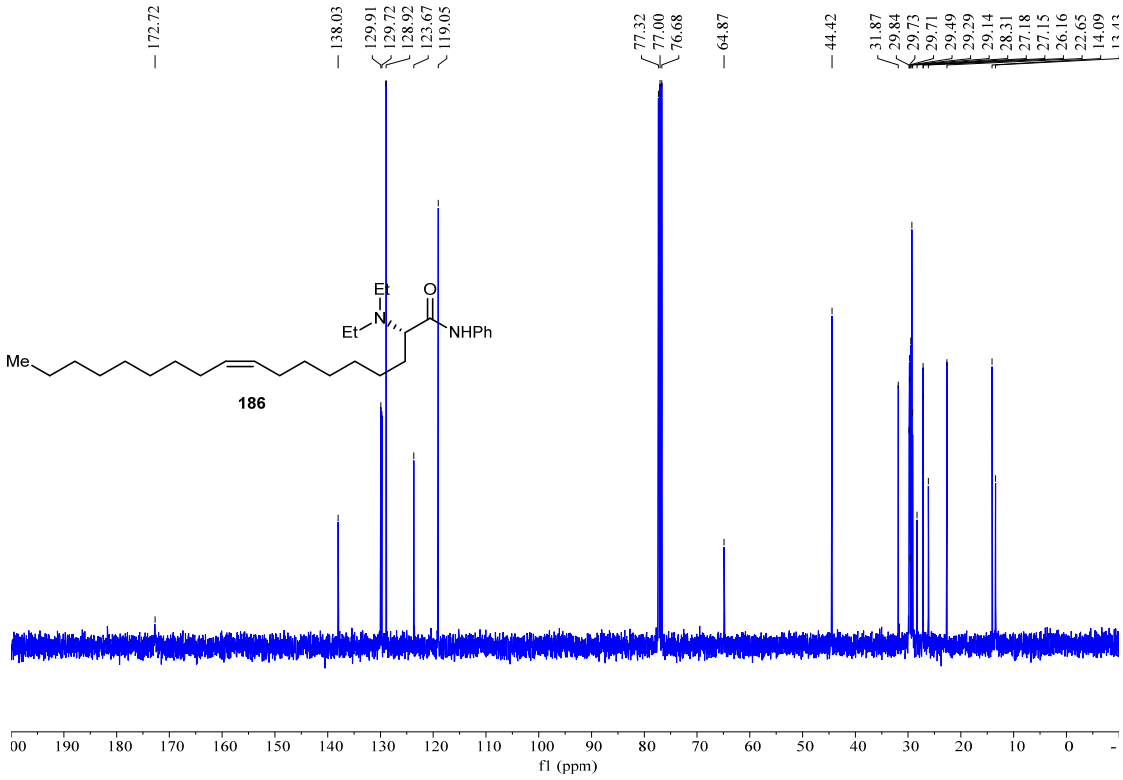

8.95 7.57 7.56 7.56 7.55 7.35 7.34 7.33 7.31 7.31 7.12 7.12 7.11 7.10 7.08 3.78 3.78 3.77 3.76 3.75 3.75 3.74 3.73 2.97 2.95 2.95 2.93 2.68 2.67 2.67 2.66 2.65 2.64 2.64 2.63 2.60 2.59 2.58 2.57 2.57 2.56 2.55 1.76 1.75 1.74 1.74 1.73 1.73 1.72 1.72 1.32 1.29 1.28 1.27 1.26 1.25 0.89 0.87 0.86
O
N
O
NHPh
Me
184
1.00
2.02
2.04
1.01
4.08
1.01
2.01
2.03
2.12
2.09
14.26
3.06
f1 (ppm)


171.07
137.63
128.95
124.02
119.30
77.32
77.00
76.68
70.15
67.22
50.79
31.79
29.76
29.51
29.48
29.35
29.21
28.01
26.48
22.58
14.03
O
N
O
NHPh
Me
184
f1 (ppm)


9.39 7.59 7.57 7.57 7.38 7.38 7.38 7.36 7.35 7.35 7.33 7.31 7.30 7.29 7.28 7.27 7.25 7.12 7.10 7.08 5.35 5.34 5.33 5.31 3.85 3.81 3.77 3.74 3.29 3.27 3.27 3.25 2.02 2.00 1.99 1.97 1.97 1.84 1.83 1.65 1.63 1.40 1.38 1.37 1.36 1.36 1.35 1.34 1.32 1.31 1.30 1.29 1.28 1.26 1.23 0.89 0.88 0.86 0.00
Ph
H
N
O
NHPh
Me
185
1.00 2.01 7.25 1.06 2.04 2.05 1.00 1.12 4.01 1.04 1.09 20.27 3.05
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


172.40
139.06 137.75 130.01 129.61 128.97 128.70 128.11 127.51 124.00 119.32
77.32 77.00 76.68
63.12 53.06 33.67 31.87 29.73 29.62 29.50 29.32 29.29 29.05 27.19 27.10 25.87 22.66
14.11
Ph
H
N
O
NHPh
Me
185
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


Et
O
N
Et
NHPh
Me
186
f1 (ppm)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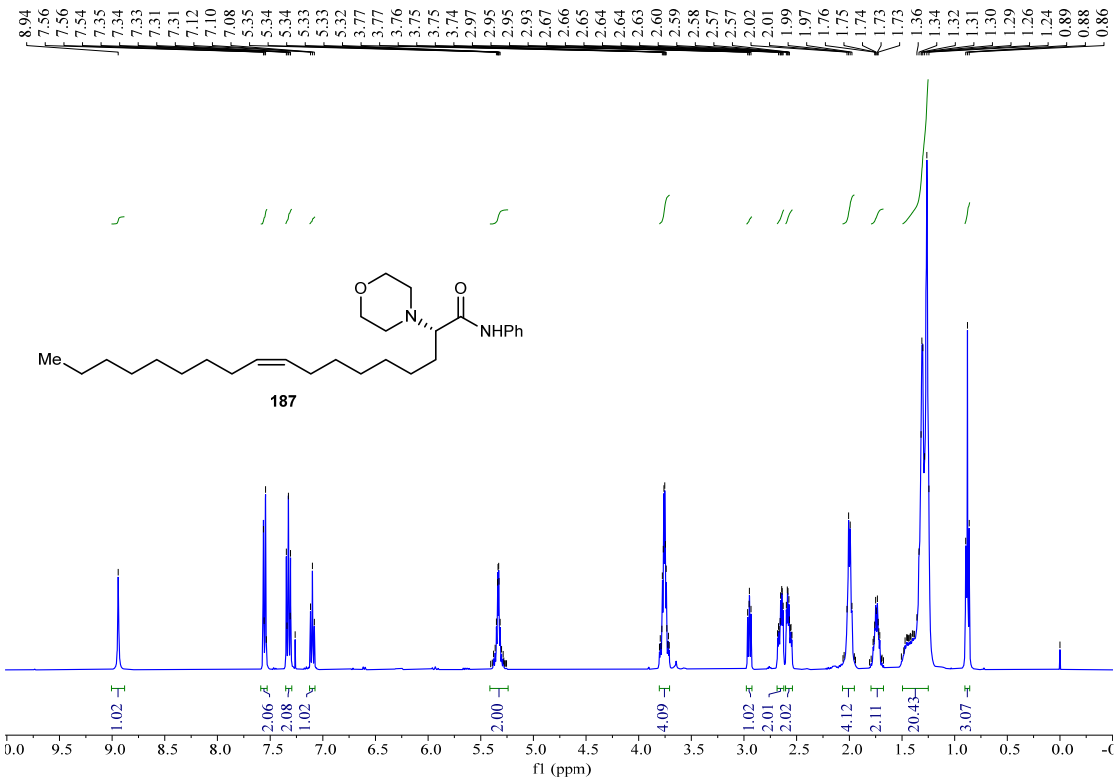
O
N
Et
NHPh
Me
186
f1 (ppm)


8.94 7.56 7.56 7.54 7.35 7.34 7.33 7.31 7.31 7.12 7.10 7.08 5.35 5.34 5.34 5.33 5.33 5.32 3.77 3.77 3.76 3.75 3.75 3.74 2.97 2.95 2.95 2.93 2.67 2.66 2.65 2.64 2.64 2.63 2.60 2.59 2.58 2.57 2.57 2.02 2.01 1.99 1.97 1.76 1.75 1.74 1.73 1.73 1.36 1.34 1.32 1.31 1.30 1.29 1.26 1.24 0.89 0.88 0.86
O
N
O
NHPh
Me
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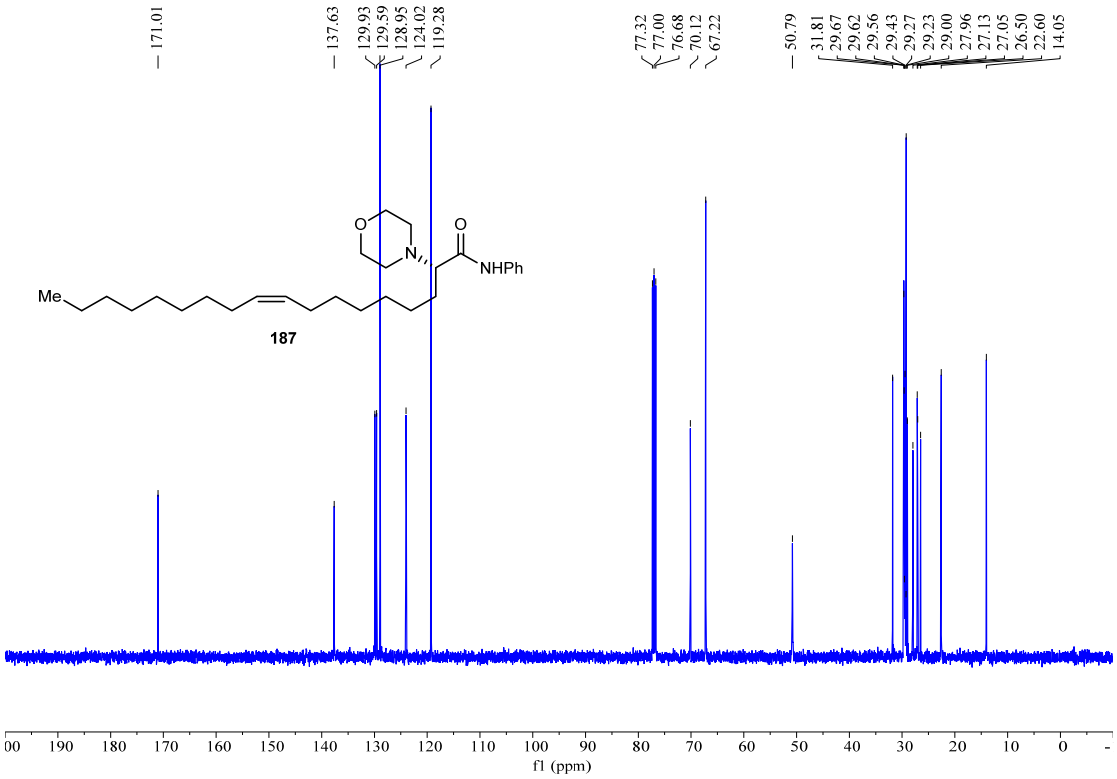
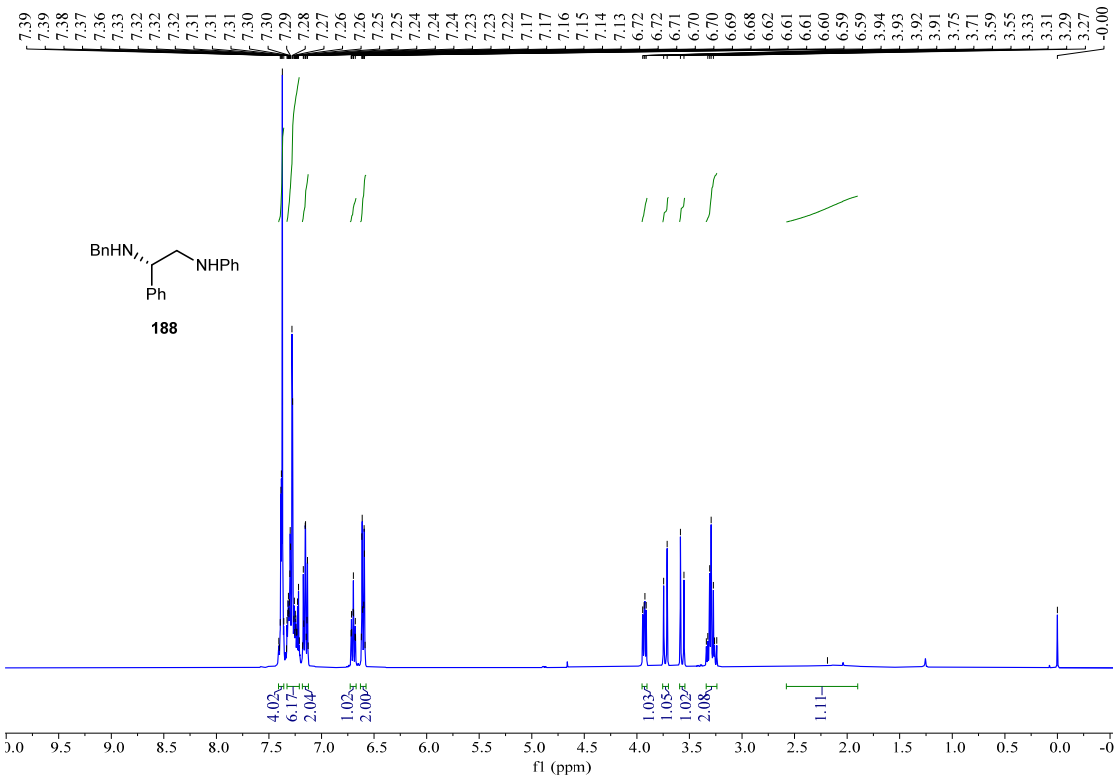
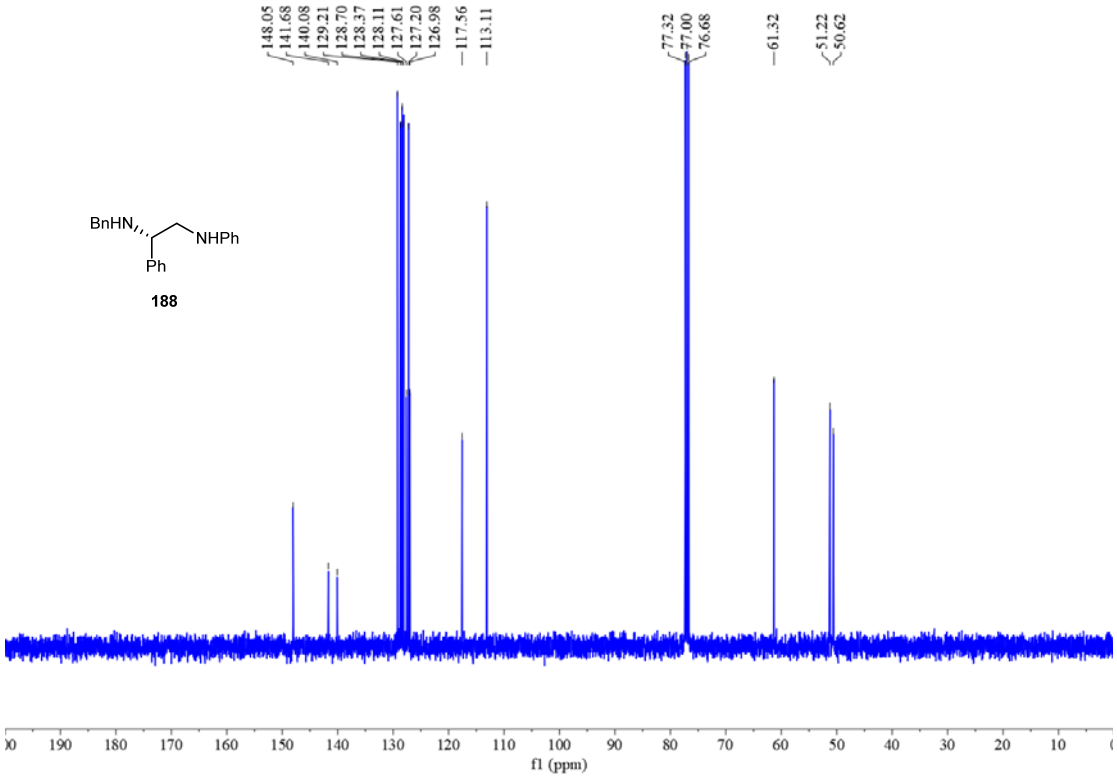
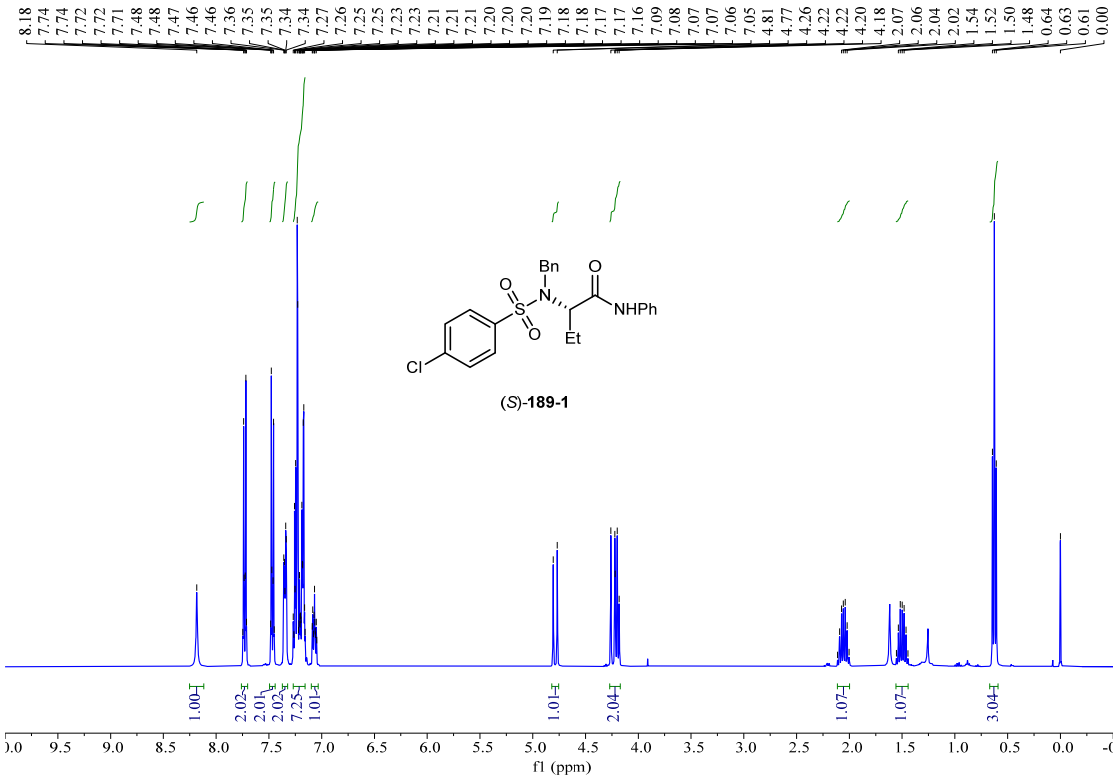
1.02 2.06 2.08 1.02 2.00 4.09 1.02 2.01 2.02 4.12 2.11 20.43 3.07
f1 (ppm)


171.01 137.63 129.93 129.59 128.95 124.02 119.28 77.32 77.00 76.68 70.12 67.22 50.79 31.81 29.67 29.62 29.56 29.43 29.27 29.23 29.00 27.96 27.13 27.05 26.50 22.60 14.05
O
N
O
NHPh
Me
187
f1 (ppm)


BnHN
NHPh
Ph
188
f1 (ppm)


BnHN
NHPh
Ph
188
f1 (ppm)


8.18 7.74 7.74 7.72 7.72 7.71 7.48 7.48 7.47 7.46 7.46 7.36 7.35 7.35 7.34 7.34 7.27 7.26 7.25 7.25 7.23 7.23 7.21 7.21 7.21 7.20 7.20 7.20 7.19 7.18 7.18 7.17 7.17 7.16 7.09 7.08 7.07 7.07 7.06 7.05 4.81 4.77 4.26 4.22 4.22 4.20 4.18 2.07 2.06 2.04 2.02 1.54 1.52 1.50 1.48 0.64 0.63 0.61 0.00
Bn
O
O
N
S
O
O
NHPh
Et
Cl
(S)-189-1
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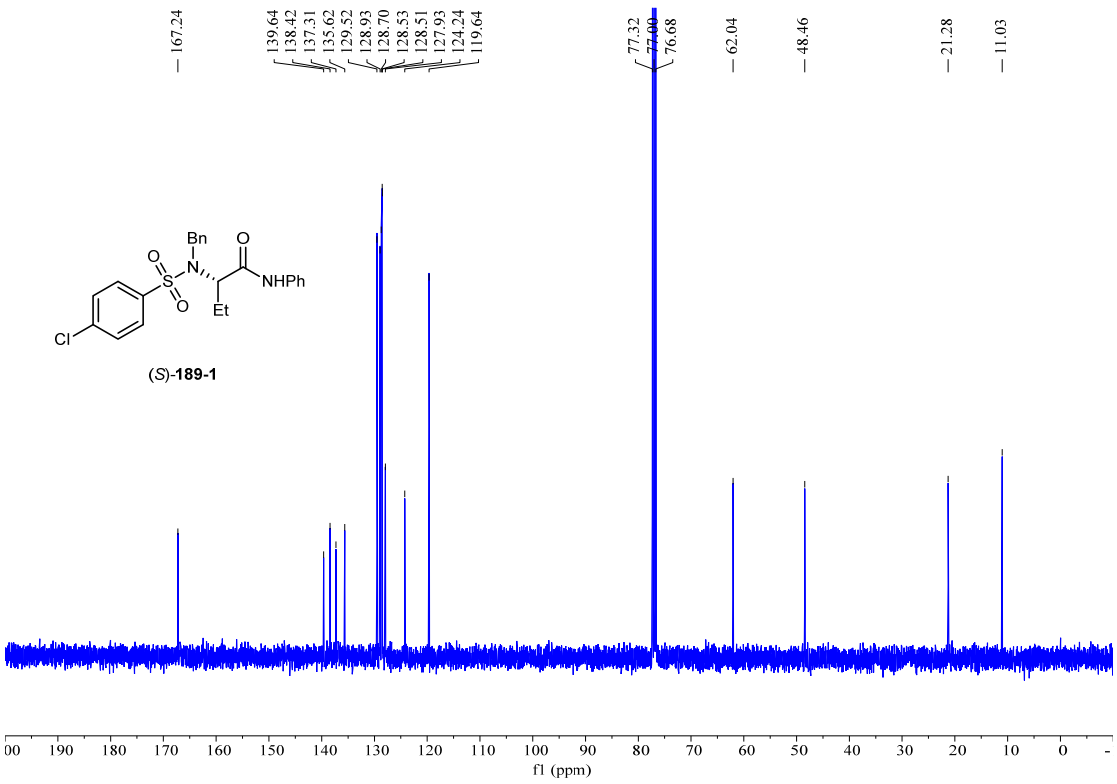
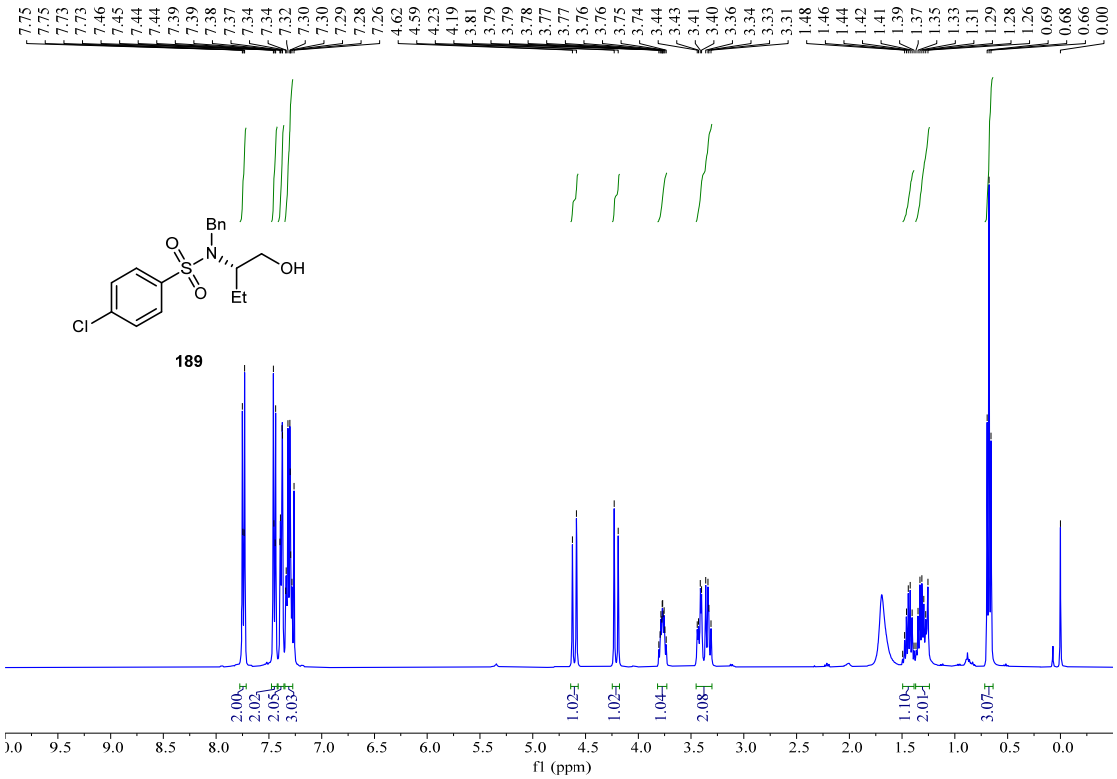
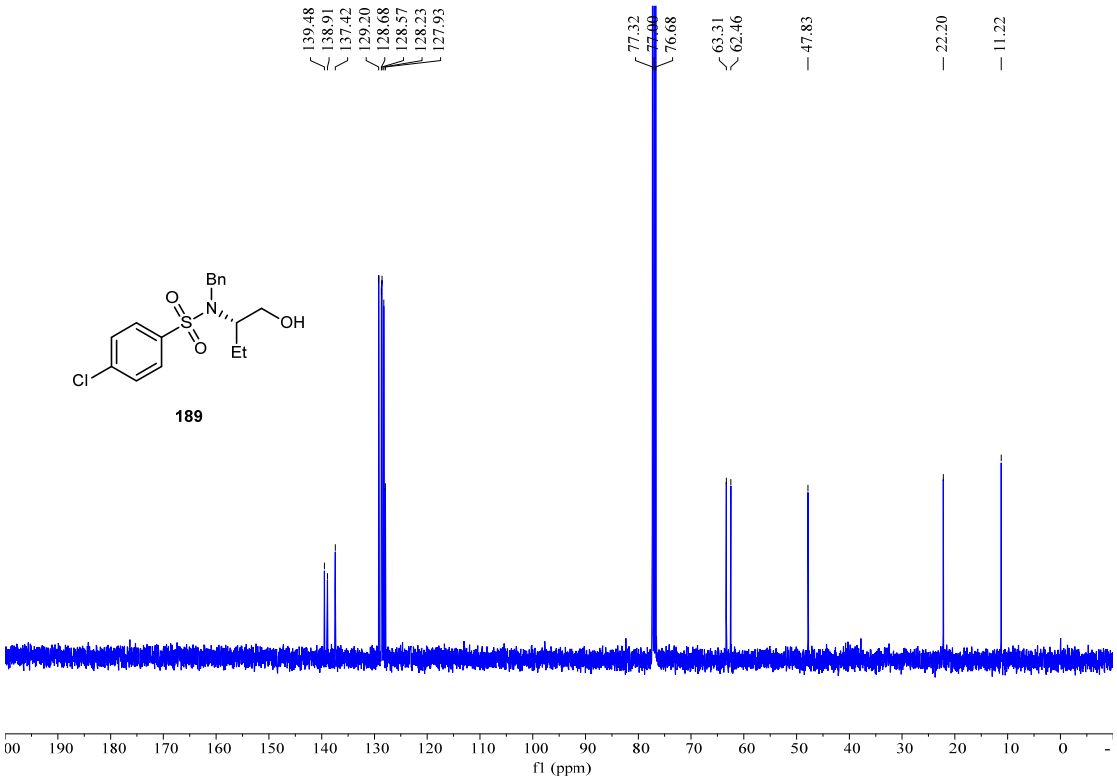
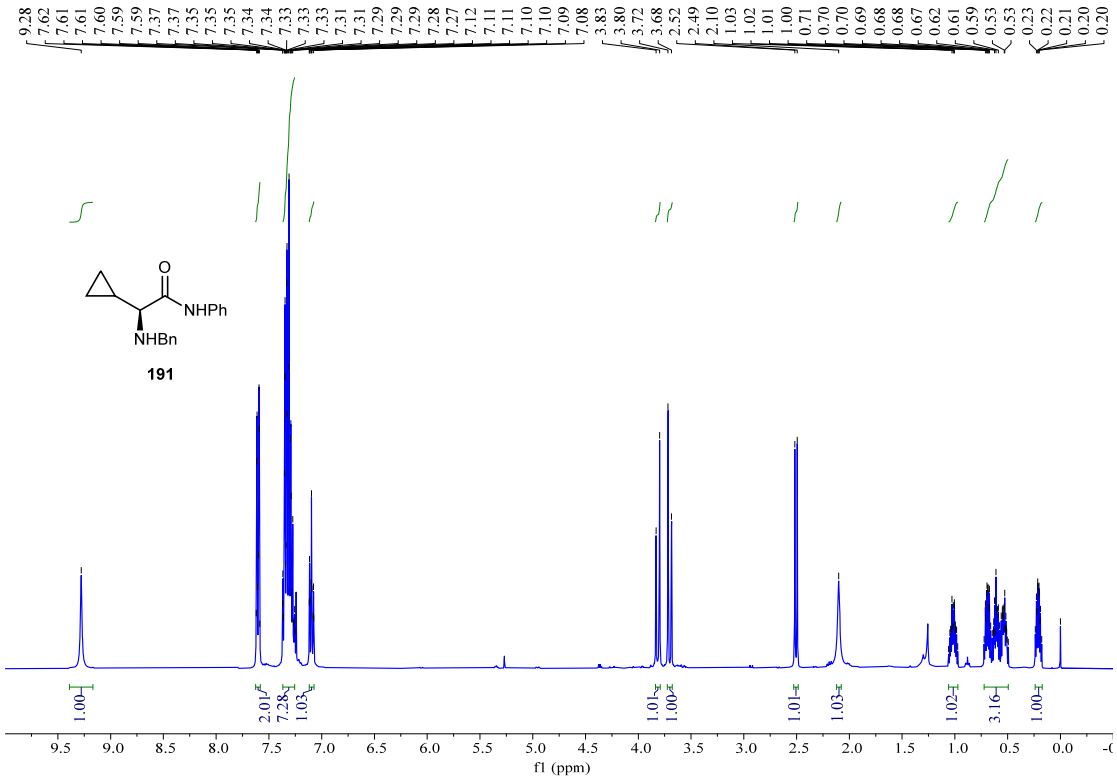
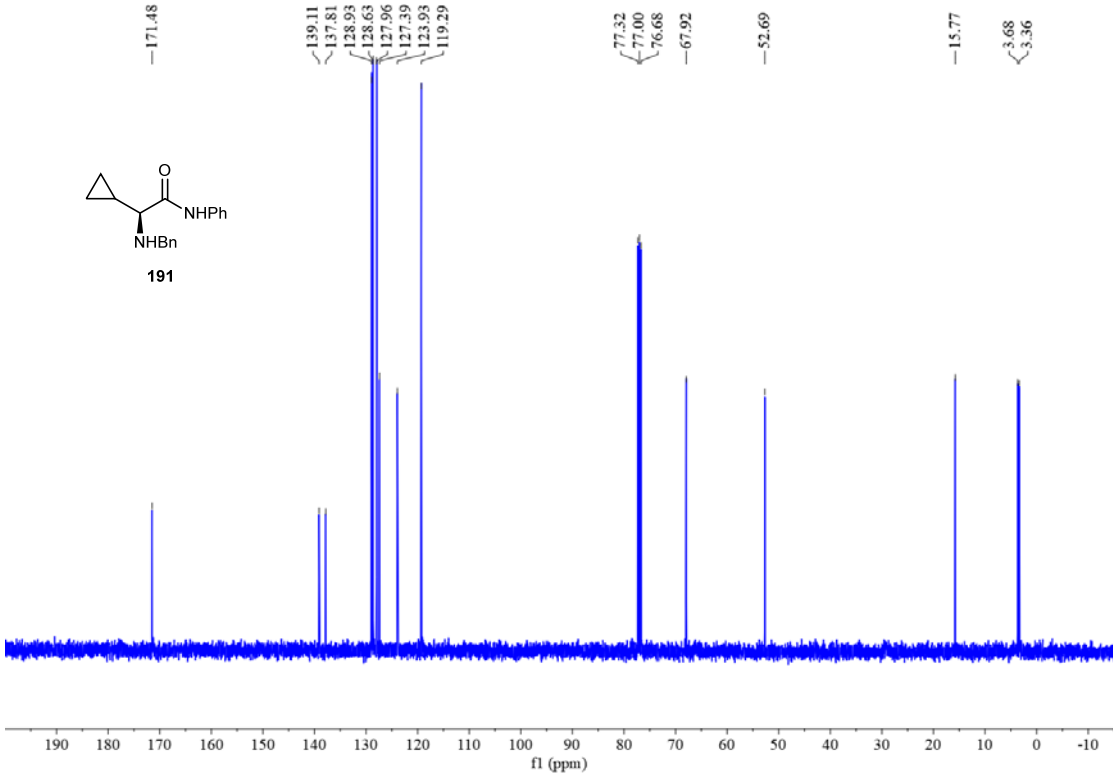
f1 (ppm)

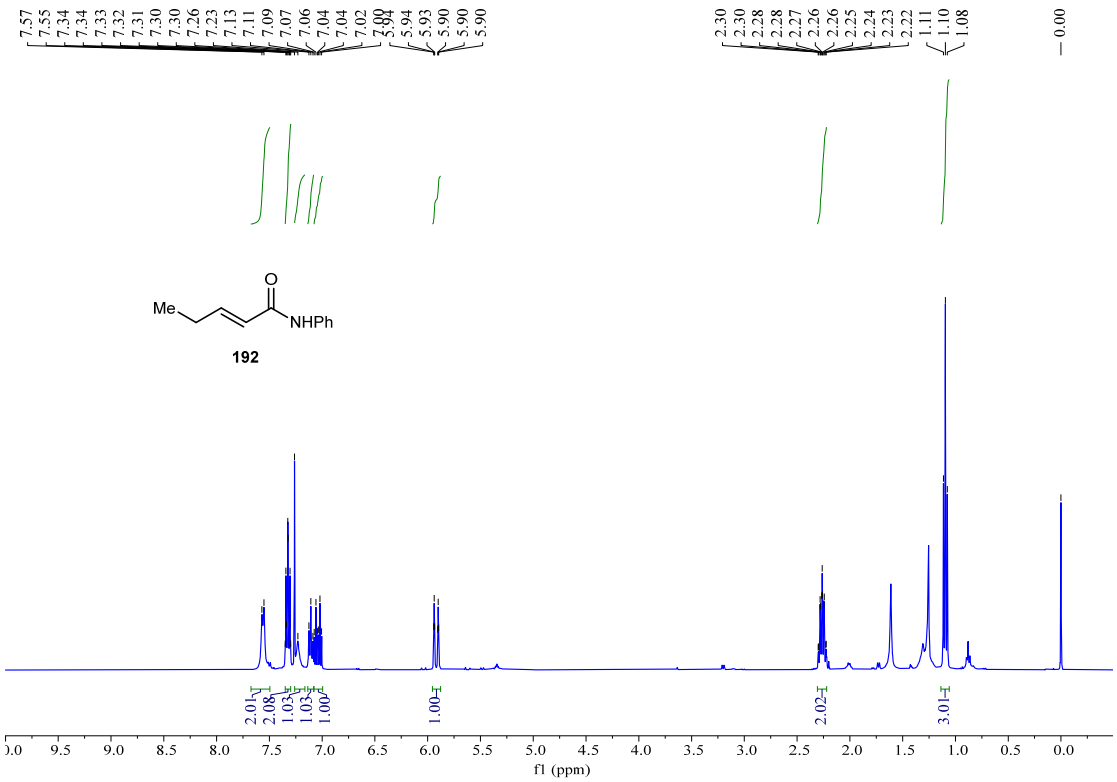

167.24
139.64 138.42 137.31 135.62 129.52 128.93 128.70 128.53 128.51 127.93 124.24 119.64
77.32 77.00 76.68
62.04
48.46
21.28
11.03
Bn
O
O
N
S
O
O
NHPh
Et
Cl
(S)-189-1
f1 (ppm)


189
fl (ppm)


189
fl (ppm)


9.28 7.62 7.61 7.61 7.60 7.59 7.59 7.37 7.37 7.35 7.35 7.35 7.34 7.34 7.33 7.33 7.33 7.31 7.31 7.29 7.29 7.29 7.28 7.27 7.12 7.11 7.11 7.10 7.10 7.09 7.08
3.83 3.80 3.72 3.68 2.52 2.49 2.10 1.03 1.02 1.01 1.00 0.71 0.70 0.70 0.69 0.68 0.68 0.67 0.62 0.61 0.59 0.53 0.53 0.23 0.22 0.21 0.20 0.20
O
NHPh
NHBn
191
1.00 2.01 7.28 1.03 1.01 1.00 1.01 1.03 1.02 3.16 1.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


171.48
139.11 137.81 128.93 128.63 127.96 127.39 123.93 119.29
77.32 77.00 76.68 67.92 52.69 15.77 3.68 3.36
O
NHPh
NHBn
191
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.13
7.11
7.09
7.07
7.06
7.04
7.04
7.02
5.94
5.93
5.90
5.90
5.90
2.30
2.30
2.28
2.28
2.27
2.26
2.26
2.25
2.24
2.23
2.22
1.11
1.10
1.08
0.00
O
Me
NHPh
192
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2.08
1.03
1.03
1.00
1.00
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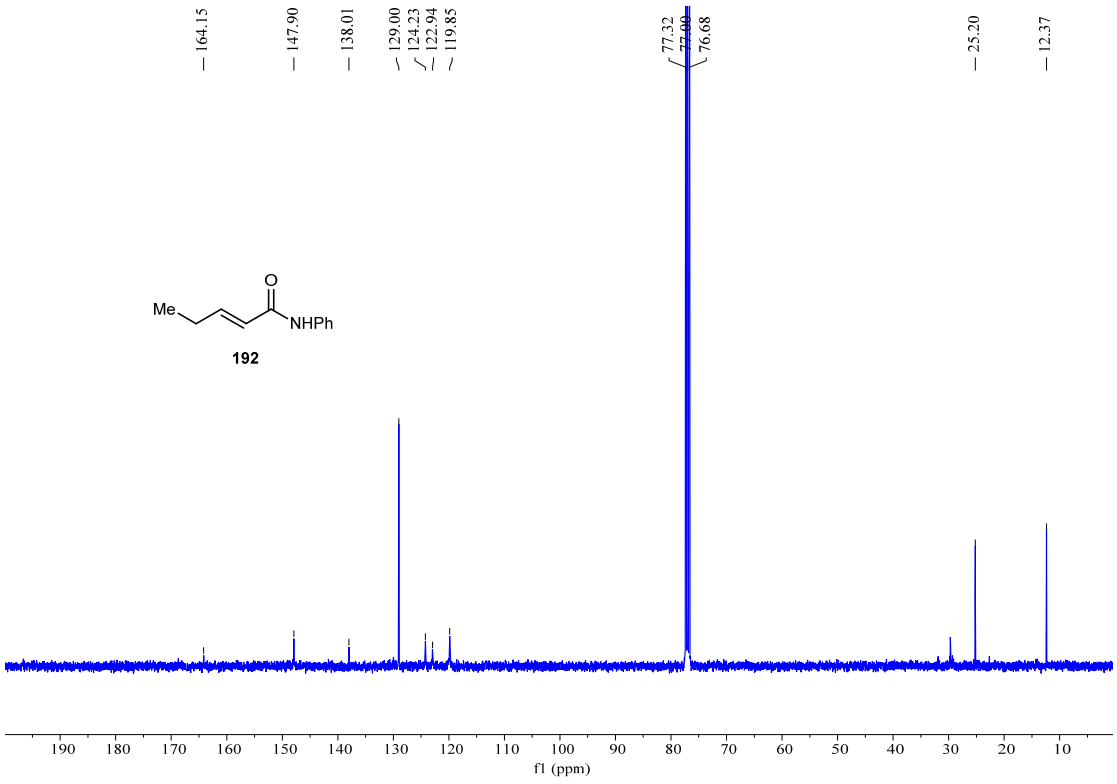
3.01
f1 (ppm)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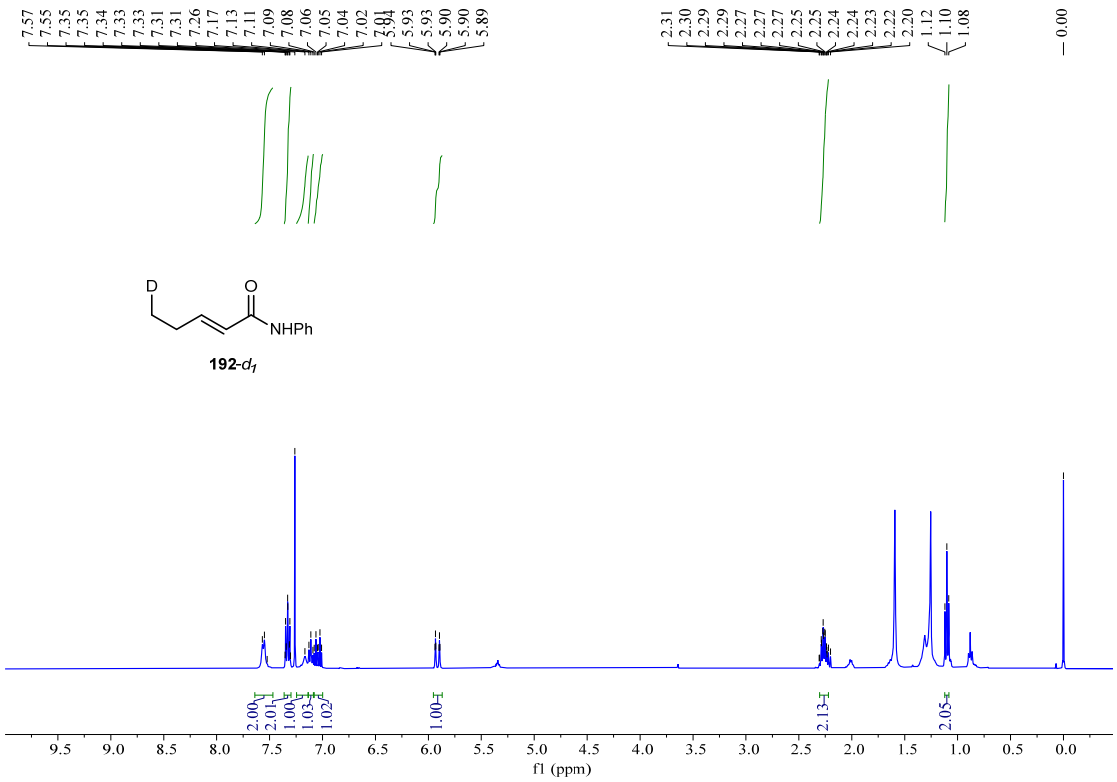
76.68
25.20
12.37
O
Me
NHPh
192
f1 (ppm)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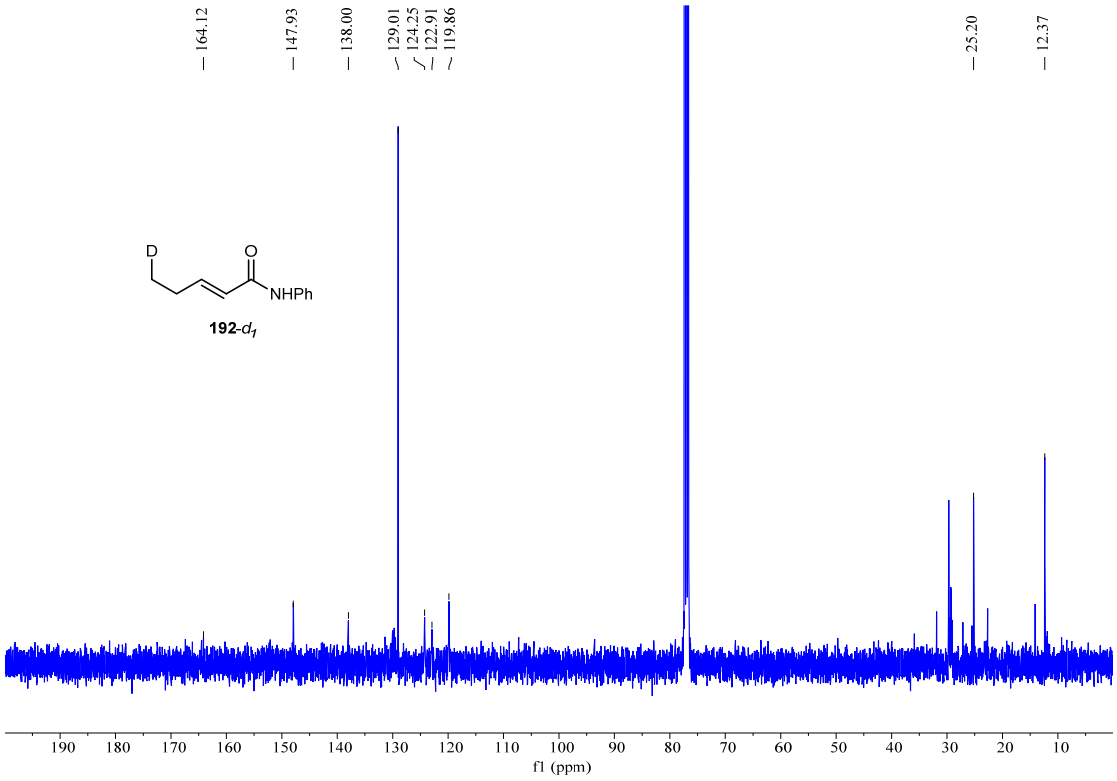
2.25
2.25
2.24
2.24
2.23
2.22
2.20
1.12
1.10
1.08
0.00
D
O
NHPh
192-d1
2.00
2.01
1.00
1.03
1.02
1.00
2.13
2.05
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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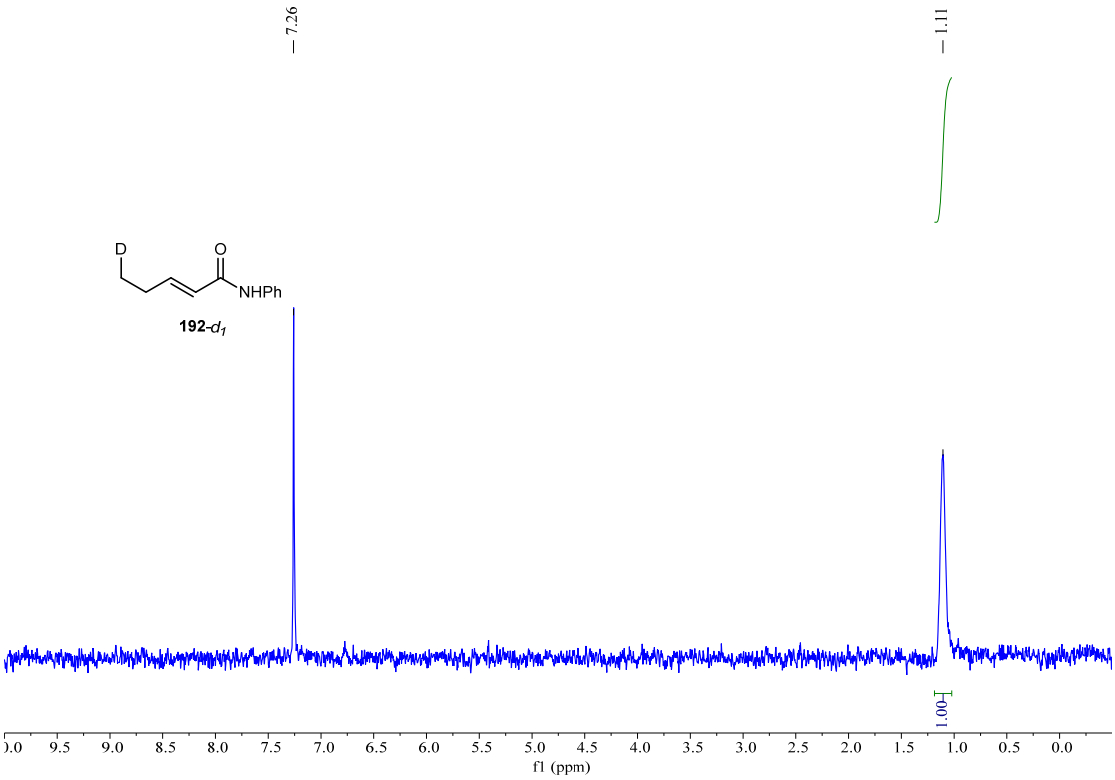
25.20
12.37
D
O
NHPh
192-d1
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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D
O
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192-d_1
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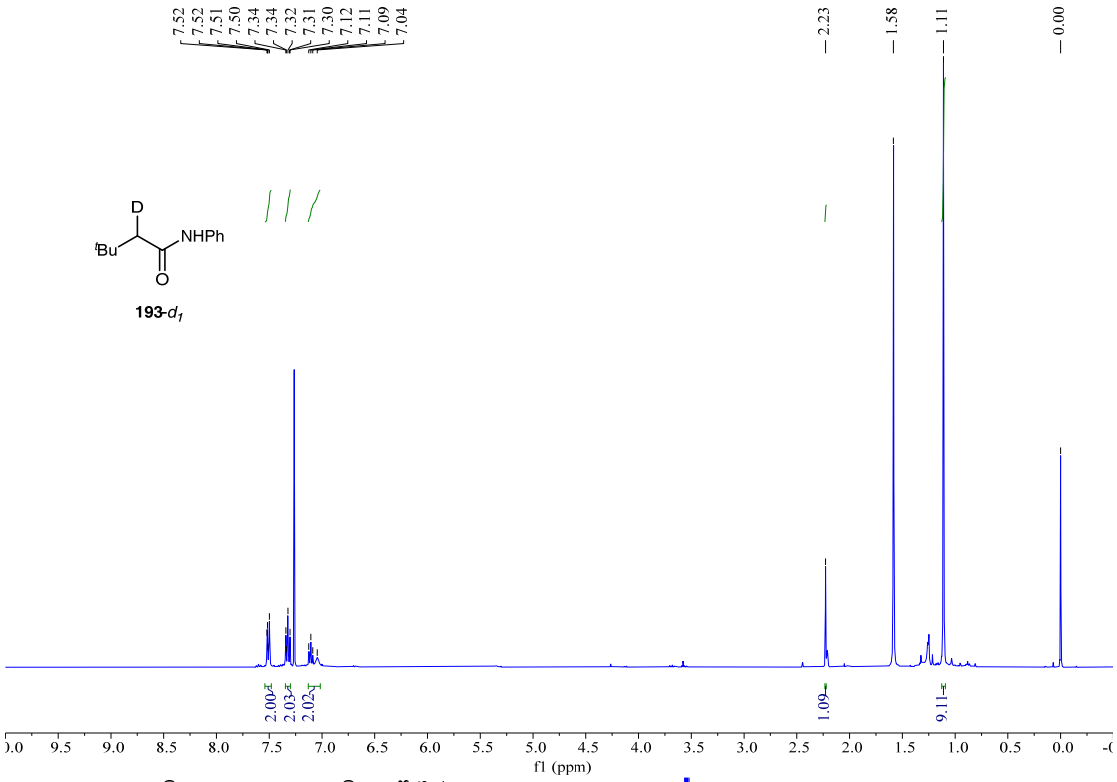
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7.32
7.31
7.30
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7.11
7.09
7.04
2.23
1.58
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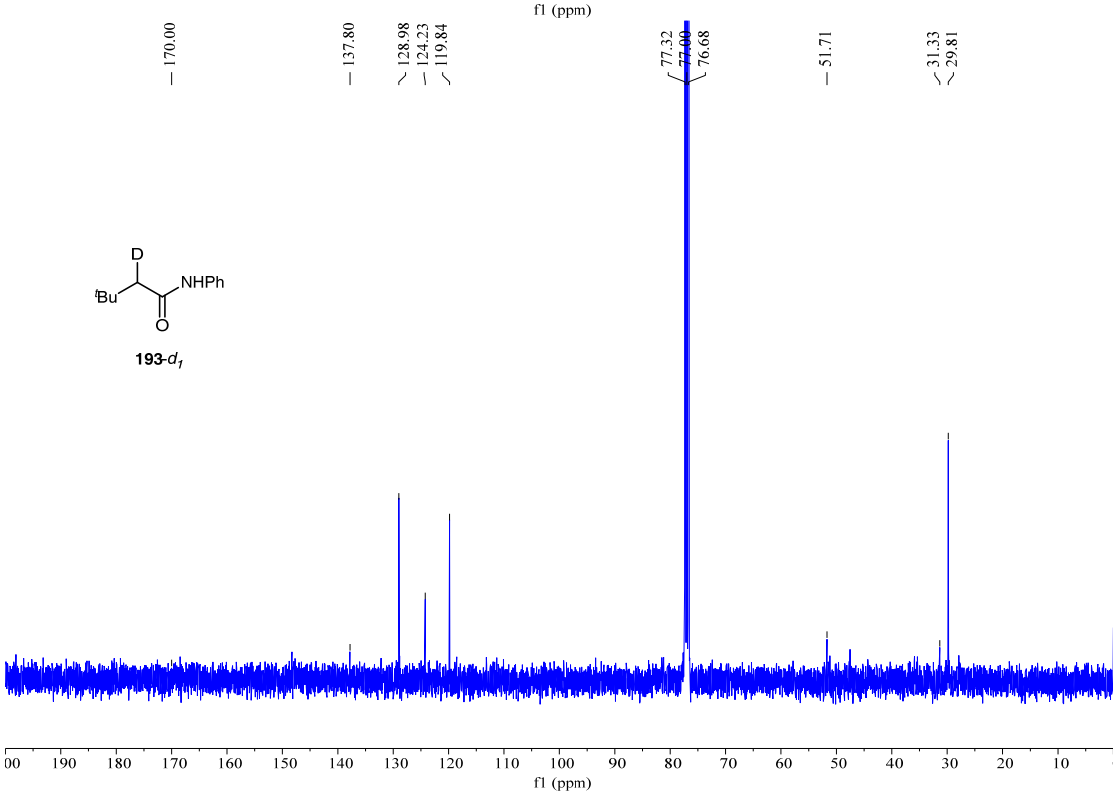
D
tBu
NHPh
O
193-d1
2.00
2.03
2.02
1.09
9.11
f1 (ppm)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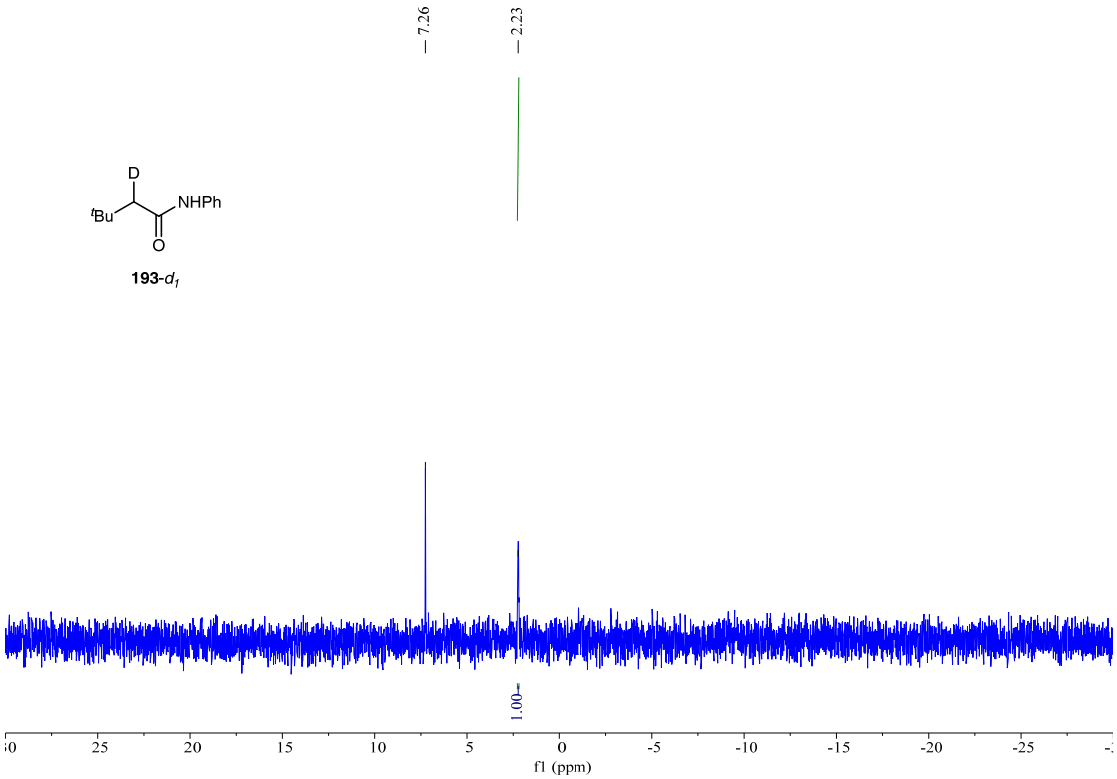
29.81
D
tBu
NHPh
O
193-d1
f1 (ppm)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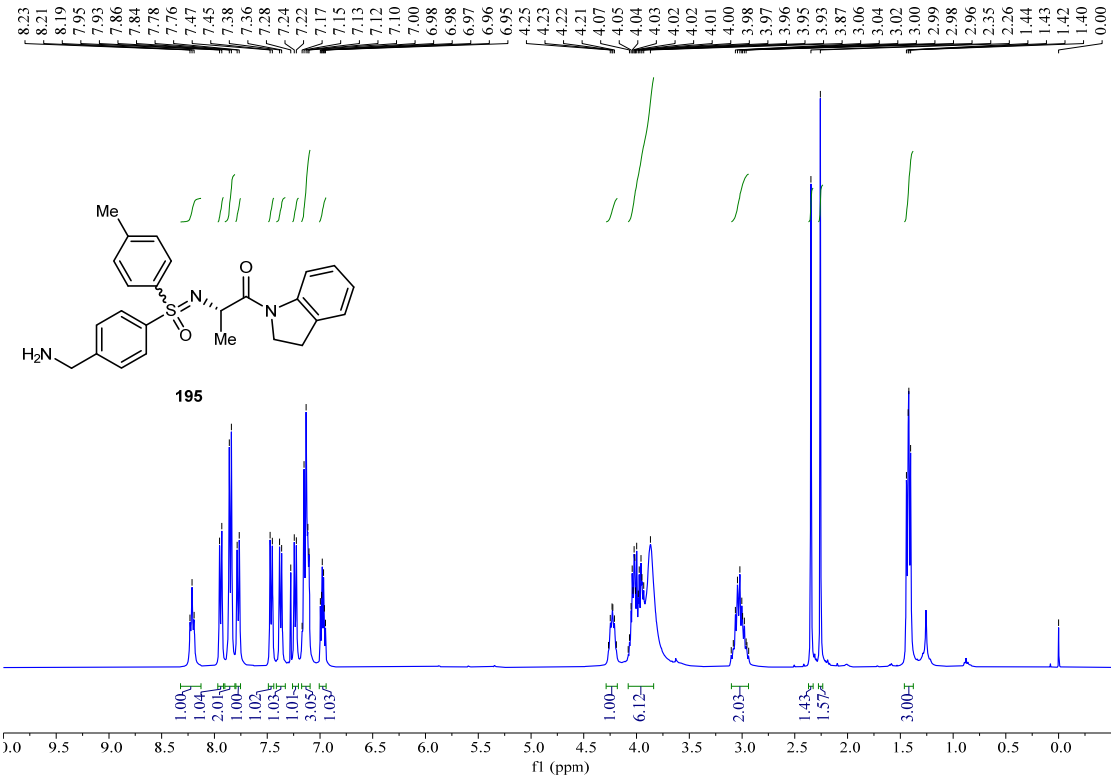
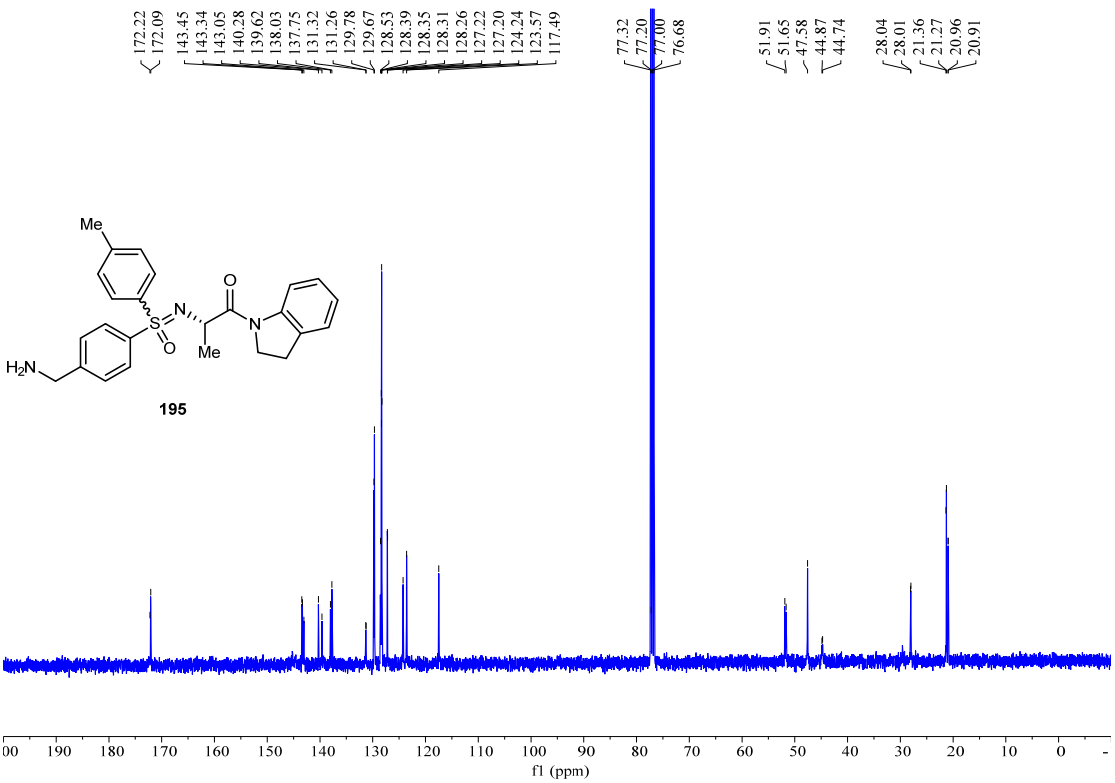
2.23
D
tBu
NHPh
O
193-d1
1.00
f1 (ppm)


Me
O
N
S
O
N
Me
H2N
195
f1 (ppm)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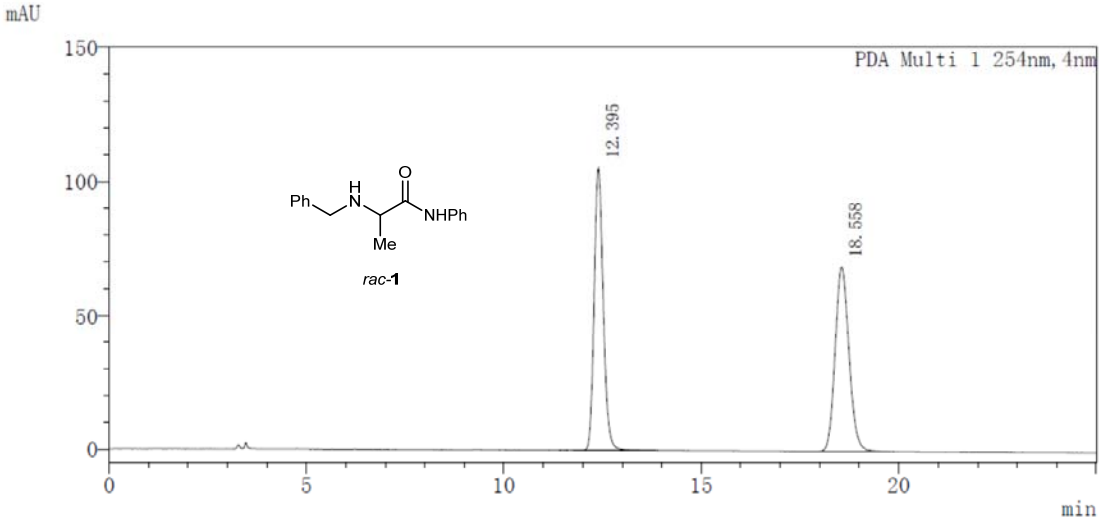
N
S
O
N
Me
H2N
195
f1 (ppm)

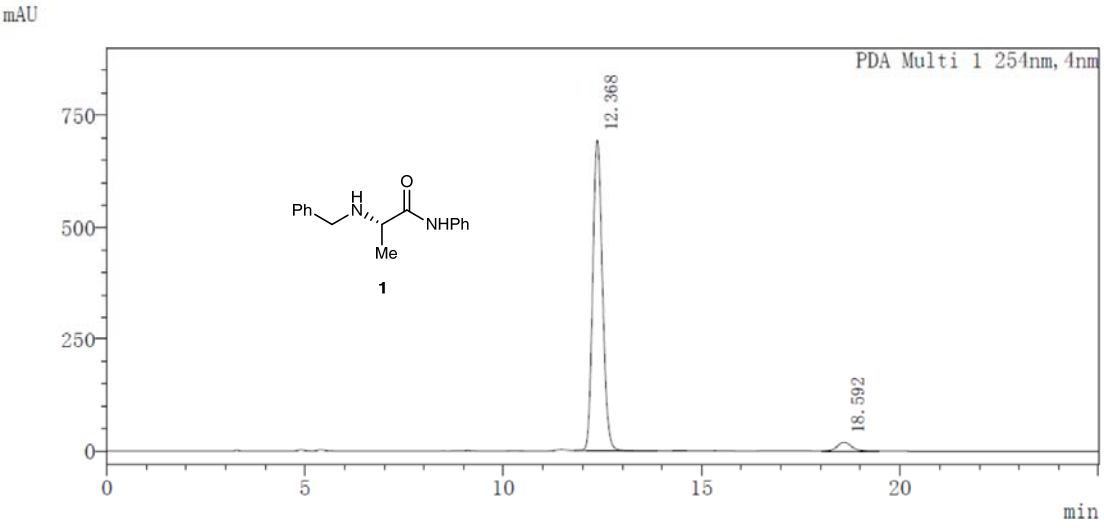
11. HPLC spectra



Peak Table

PDA Ch1 254nm

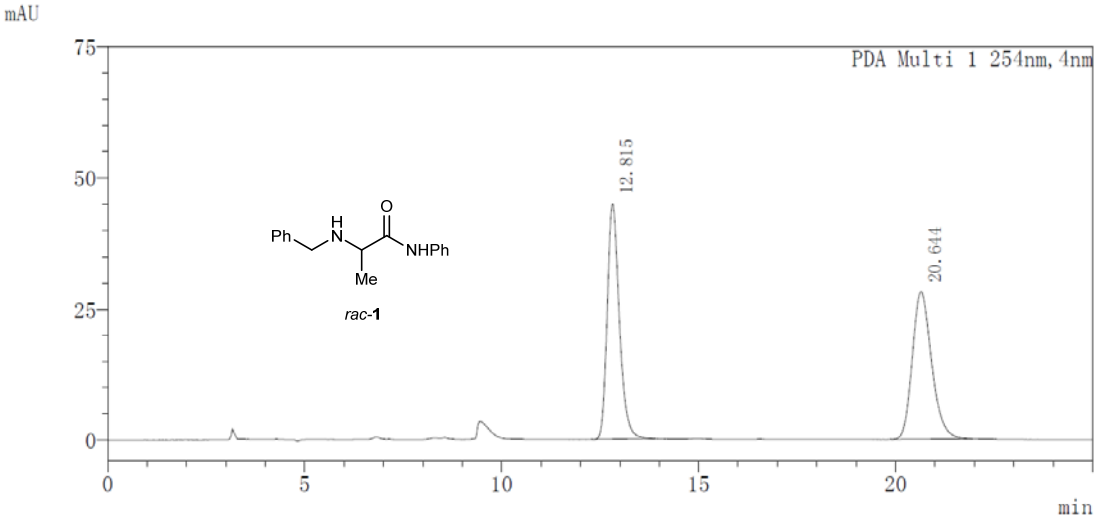
Peak#	Ret. Time	Area	Area%
1	12.395	1683679	49.990
2	18.558	1684324	50.010



Peak Table

PDA Ch1 254nm

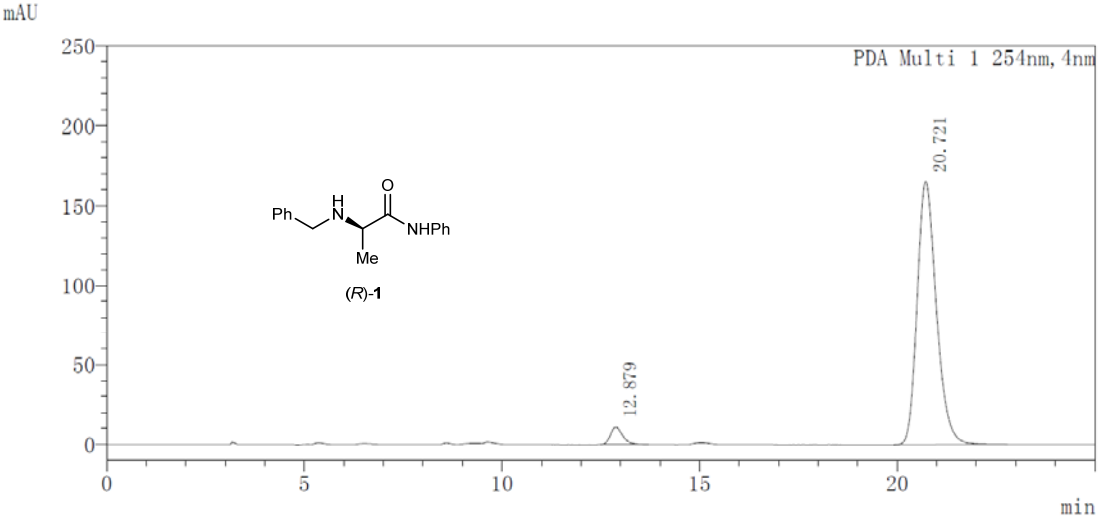
Peak#	Ret. Time	Area	Area%
1	12.368	11857534	95.956
2	18.592	499666	4.044



Peak Table

PDA Ch1 254nm

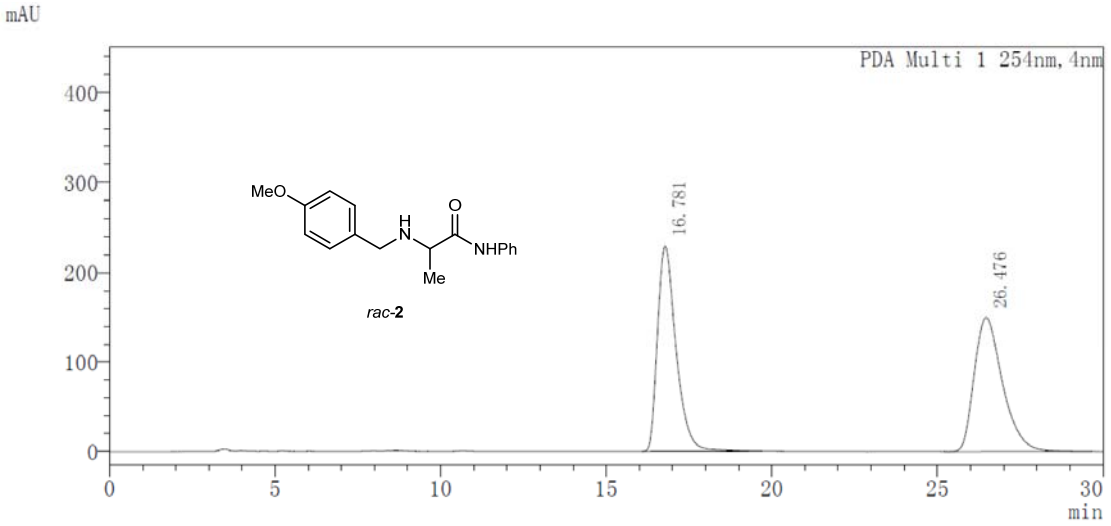
Peak#	Ret. Time	Area	Area%
1	12.815	964273	49.986
2	20.644	964803	50.014



Peak Table

PDA Ch1 254nm

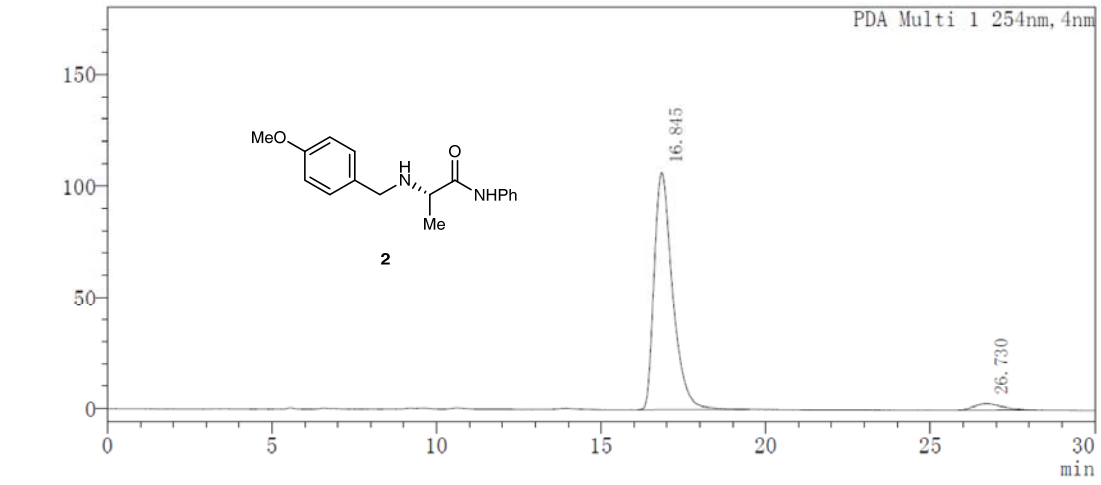
Peak#	Ret. Time	Area	Area%
1	12.879	235905	4.064
2	20.721	5569068	95.936



Peak Table

PDA Ch1 254nm

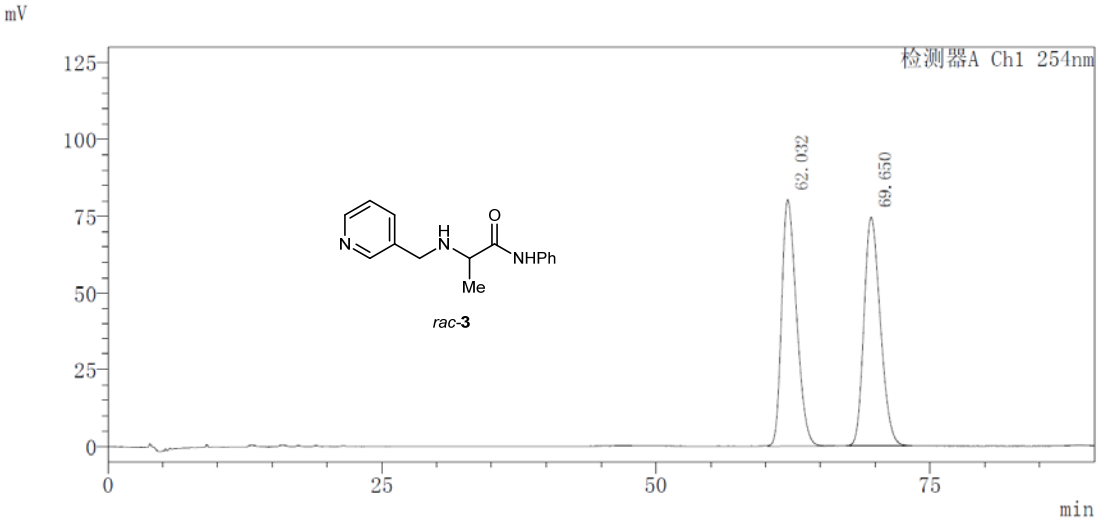
Peak#	Ret. Time	Area	Area%
1	16.781	9001909	50.081
2	26.476	8972662	49.919



Peak Table

PDA Ch1 254nm

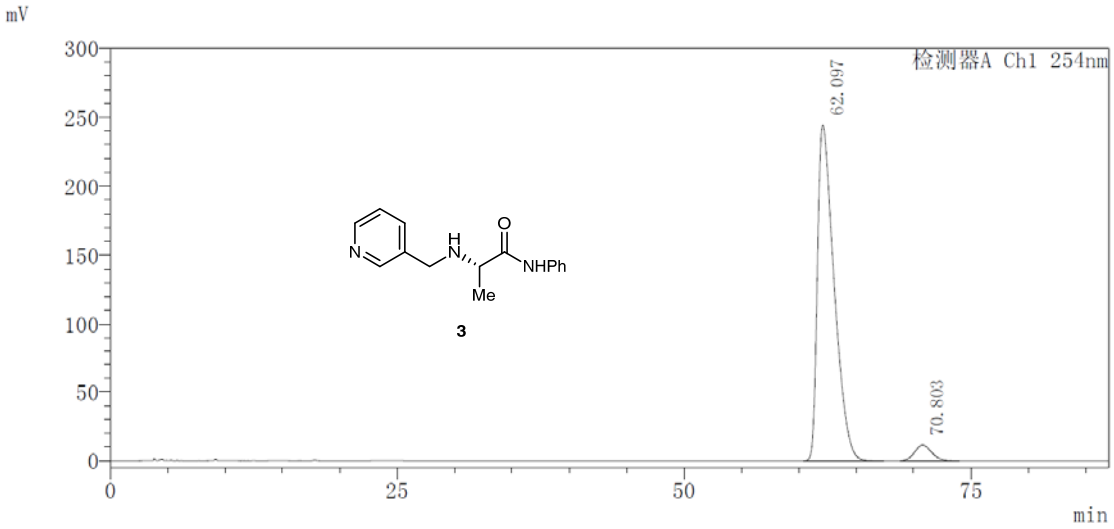
Peak#	Ret. Time	Area	Area%
1	16.845	4184542	95.875
2	26.730	180038	4.125



Peak Table

检测器A Ch1 254nm

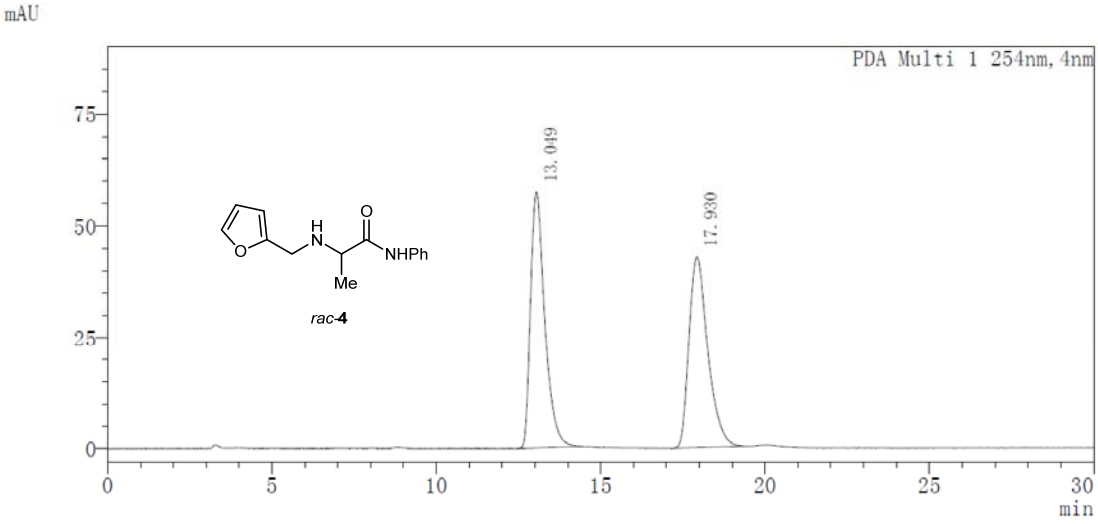
Peak#	Ret. Time	Area	Area%
1	62.032	7566028	49.368
2	69.650	7759695	50.632



Peak Table

检测器A Ch1 254nm

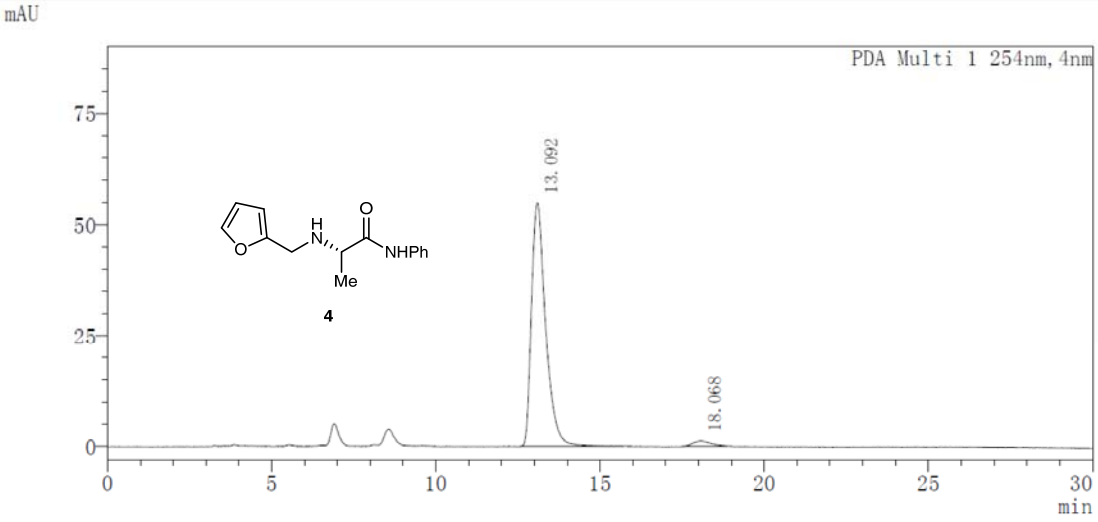
Peak#	Ret. Time	Area	Area%
1	62.097	24694597	95.456
2	70.803	1175631	4.544



Peak Table

PDA Ch1 254nm

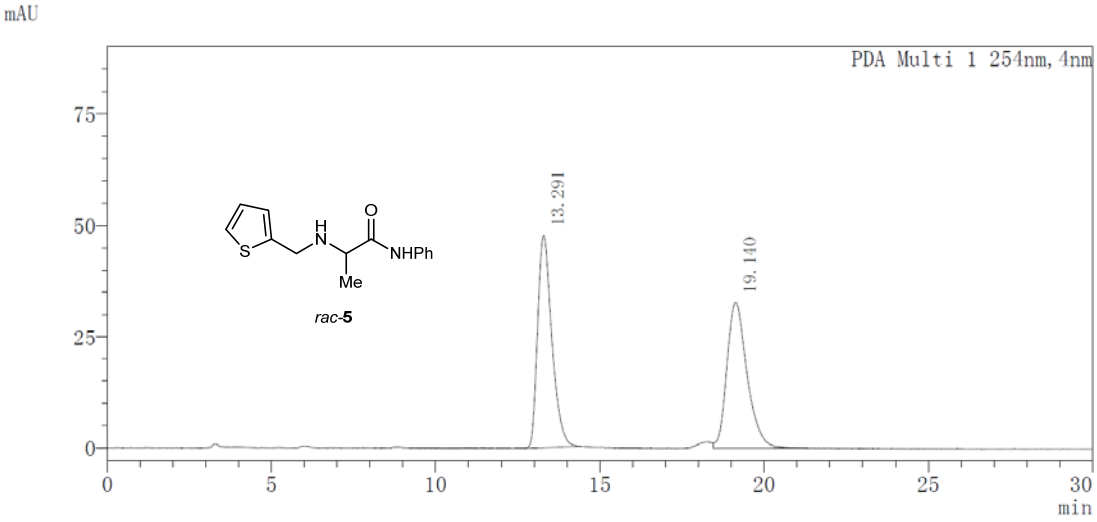
Peak#	Ret. Time	Area	Area%
1	13.049	1747928	50.372
2	17.930	1722113	49.628



Peak Table

PDA Ch1 254nm

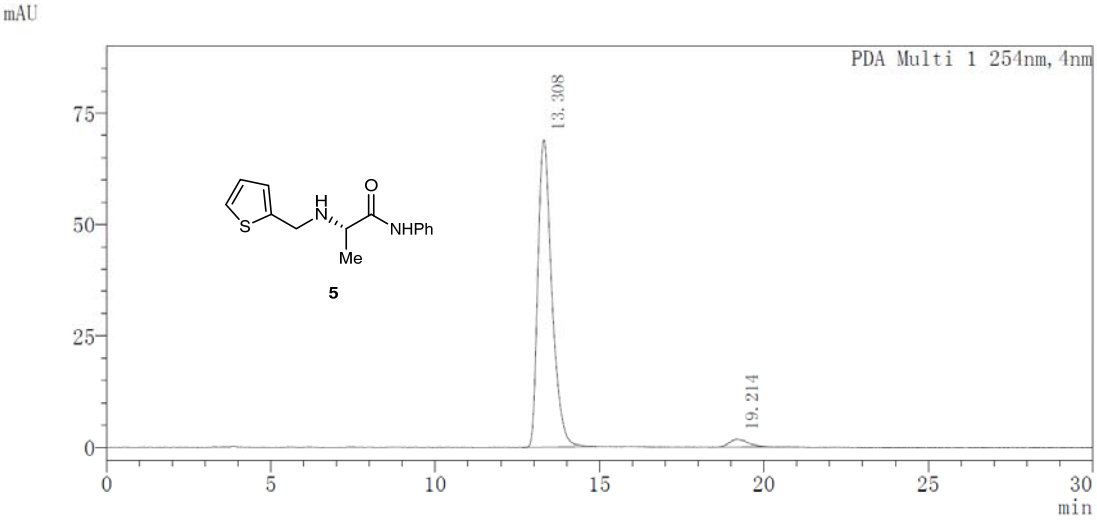
Peak#	Ret. Time	Area	Area%
1	13.092	1659582	96.903
2	18.068	53039	3.097



Peak Table

PDA Ch1 254nm

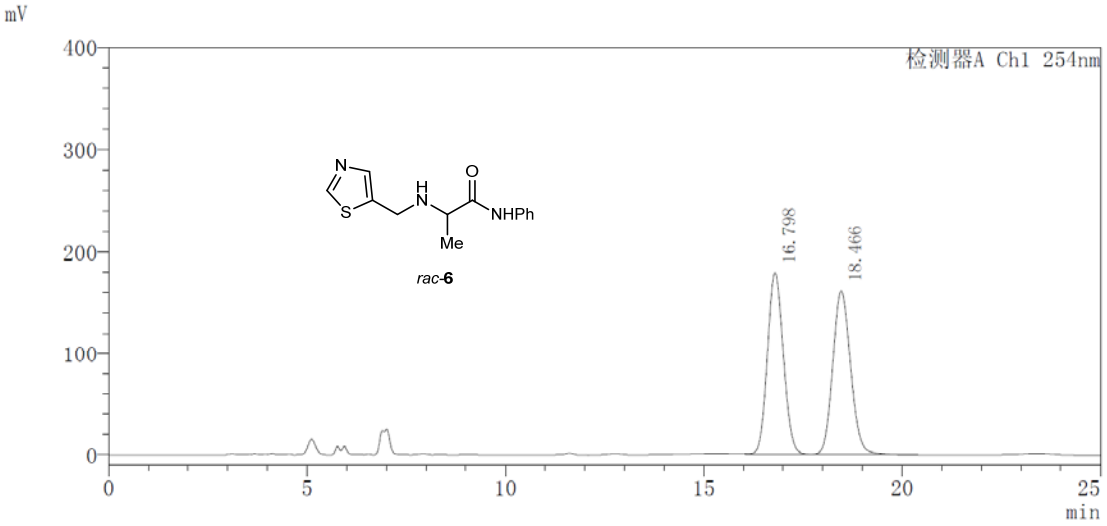
Peak#	Ret. Time	Area	Area%
1	13.291	1449939	50.605
2	19.140	1415296	49.395



Peak Table

PDA Ch1 254nm

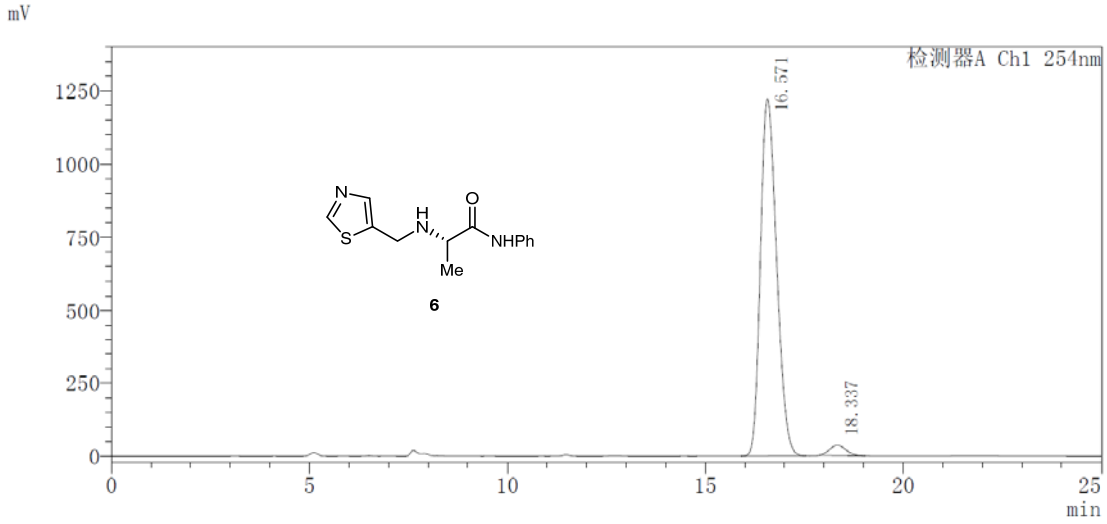
Peak#	Ret. Time	Area	Area%
1	13.308	2061083	96.730
2	19.214	69682	3.270



Peak Table

检测器A Ch1 254nm

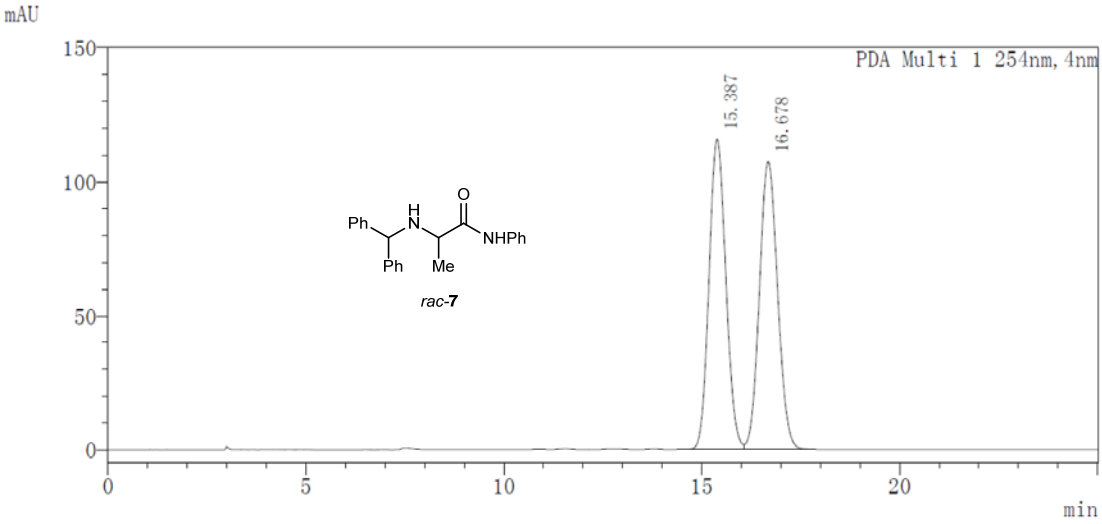
Peak#	Ret. Time	Area	Area%
1	16.798	5057959	49.809
2	18.466	5096849	50.191



Peak Table

检测器A Ch1 254nm

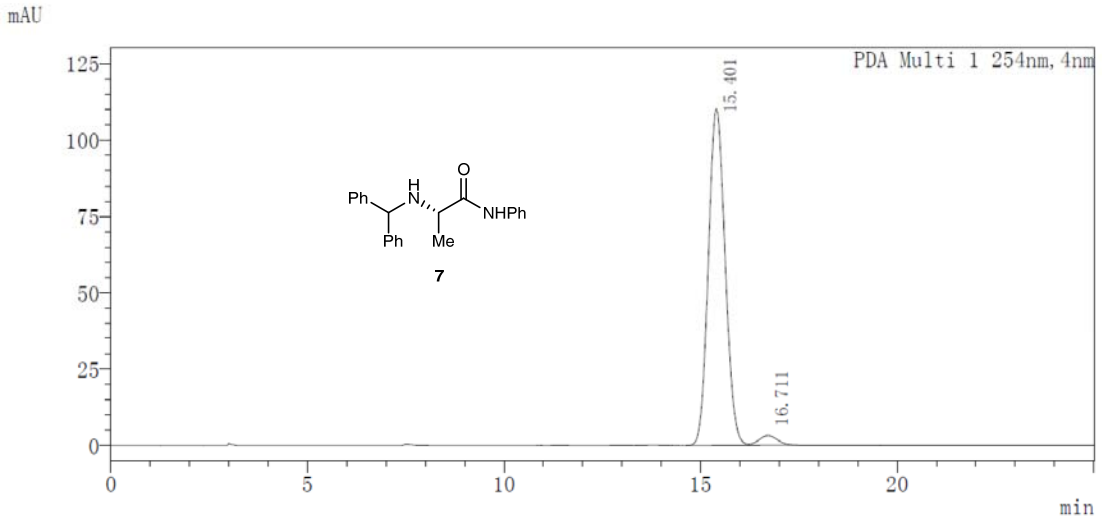
Peak#	Ret. Time	Area	Area%
1	16.571	34750848	97.194
2	18.337	1003241	2.806



Peak Table

PDA Ch1 254nm

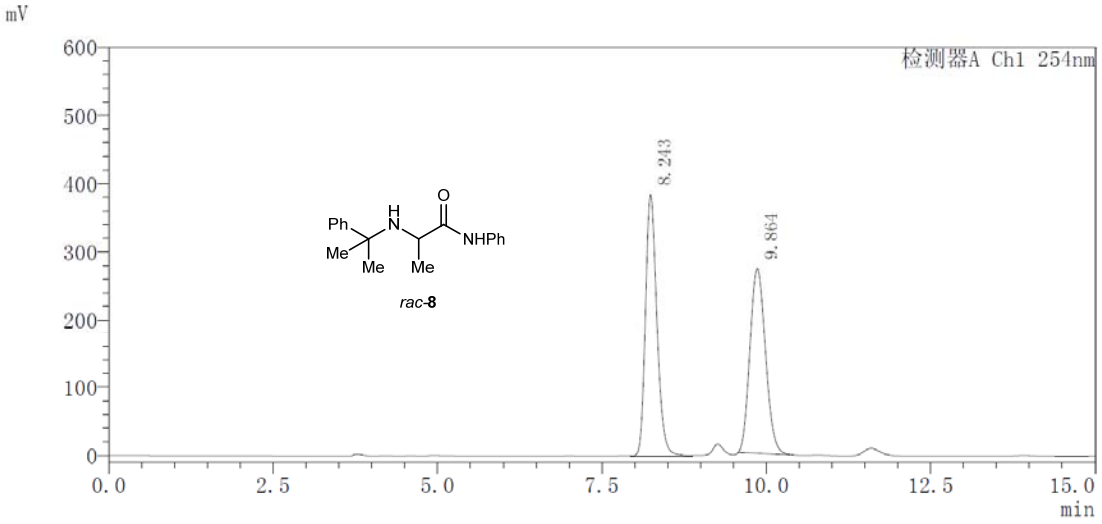
Peak#	Ret. Time	Area	Area%
1	15.387	3417459	49.887
2	16.678	3432951	50.113



Peak Table

PDA Ch1 254nm

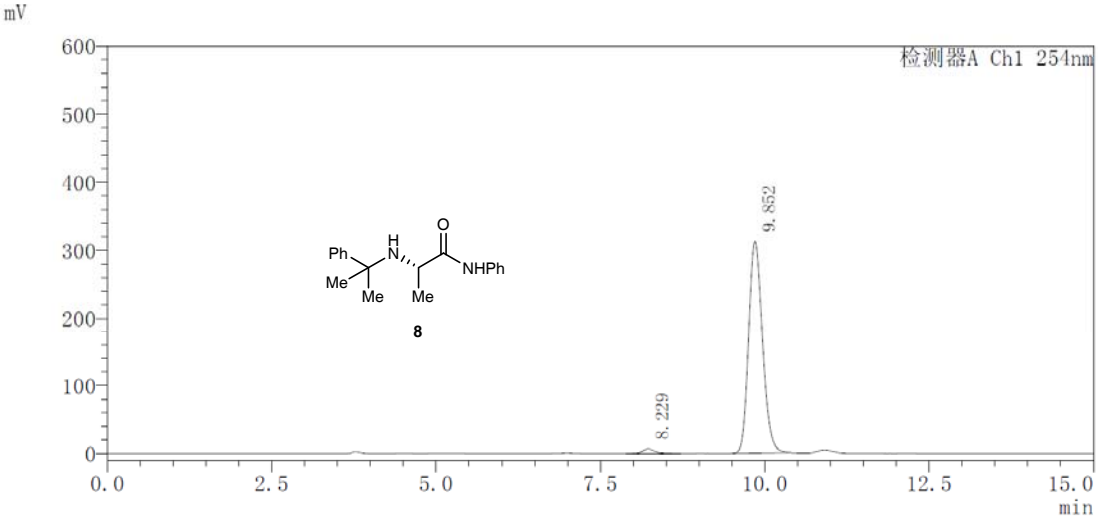
Peak#	Ret. Time	Area	Area%
1	15.401	3263613	96.906
2	16.711	104192	3.094



Peak Table

检测器A Ch1 254nm

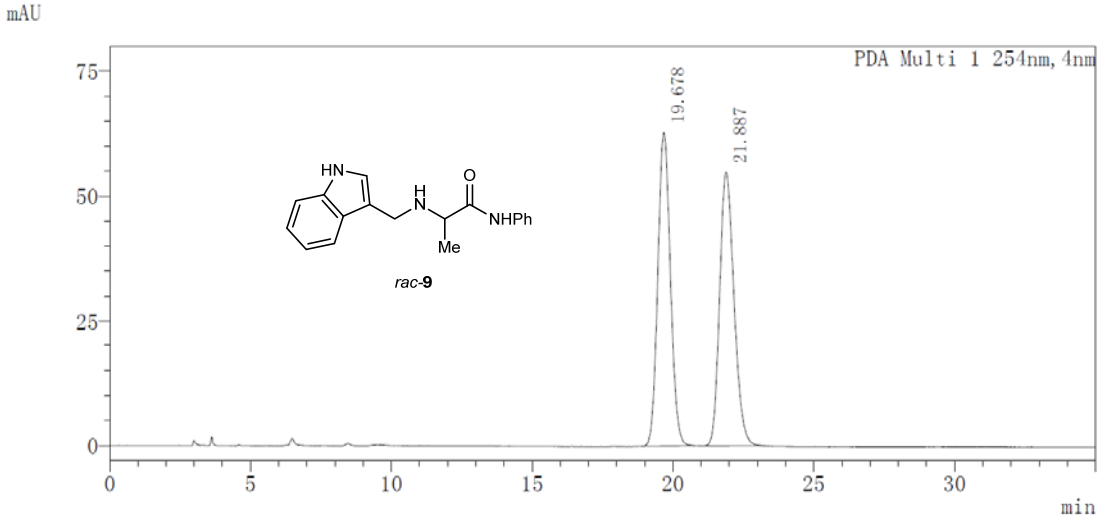
Peak#	Ret. Time	Area	Area%
1	8.243	4661202	50.963
2	9.864	4485124	49.037



Peak Table

检测器A Ch1 254nm

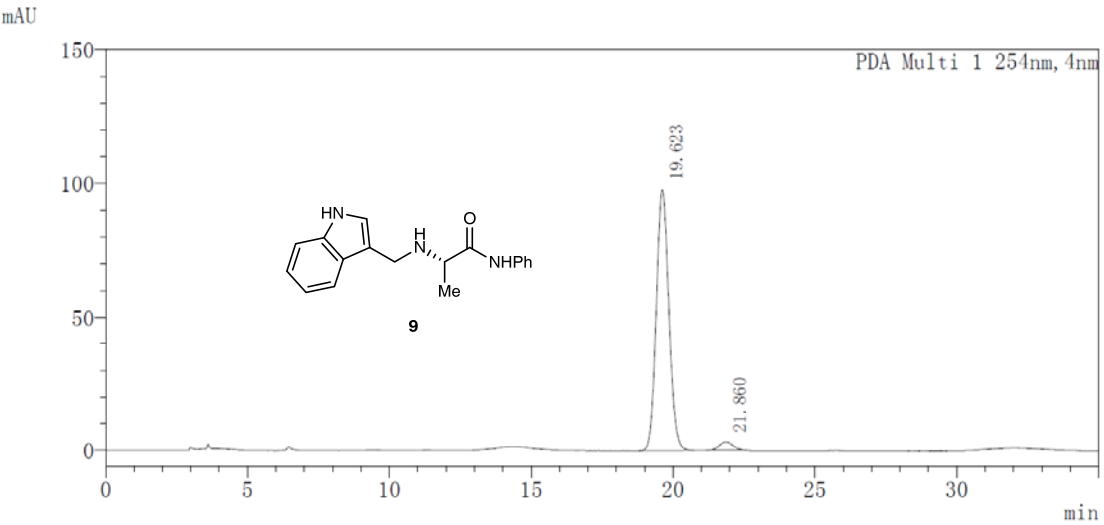
Peak#	Ret. Time	Area	Area%
1	8.229	87300	1.867
2	9.852	4589308	98.133



Peak Table

PDA Ch1 254nm

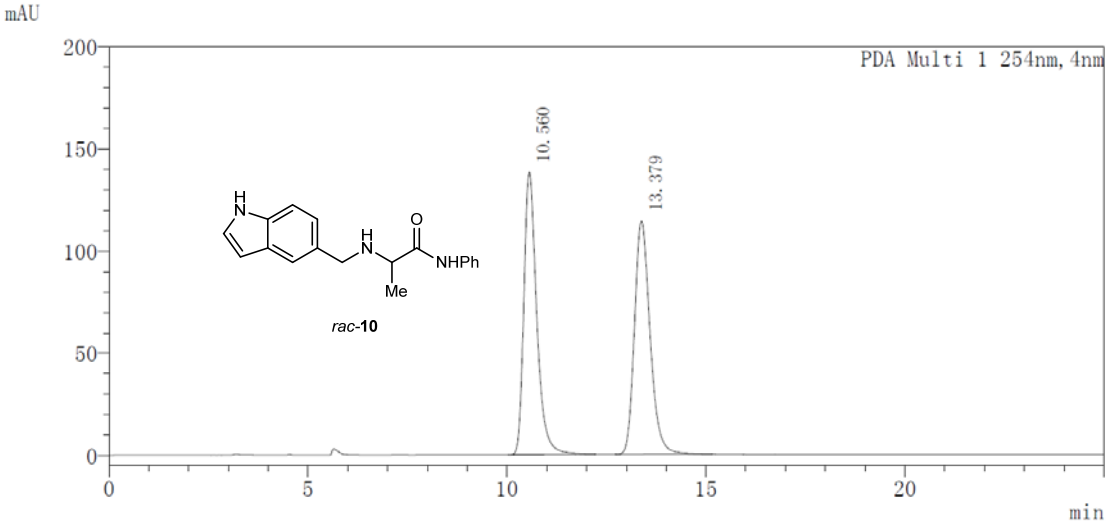
Peak#	Ret. Time	Area	Area%
1	19.678	1952868	50.067
2	21.887	1947663	49.933



Peak Table

PDA Ch1 254nm

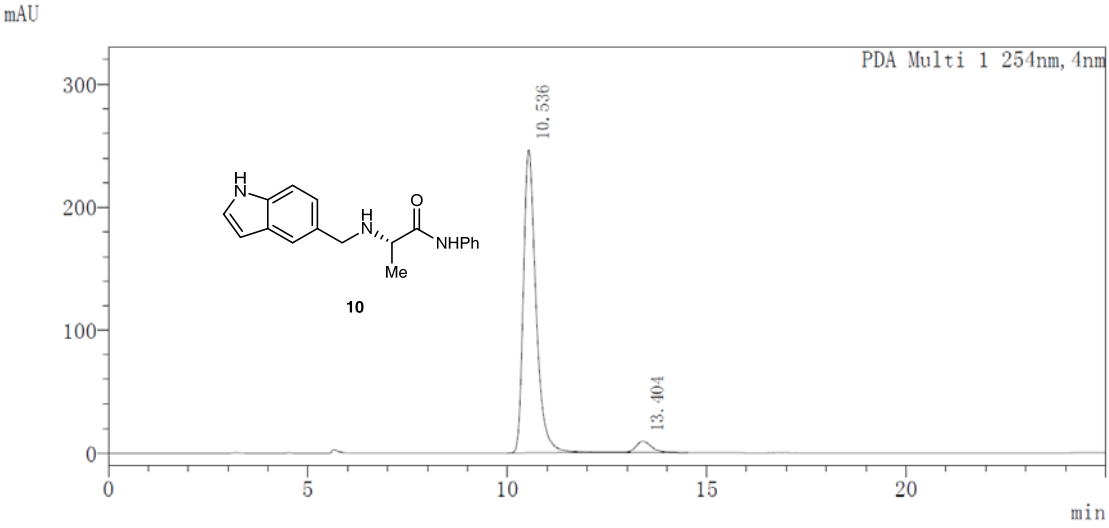
Peak#	Ret. Time	Area	Area%
1	19.623	3002214	96.581
2	21.860	106284	3.419



Peak Table

PDA Ch1 254nm

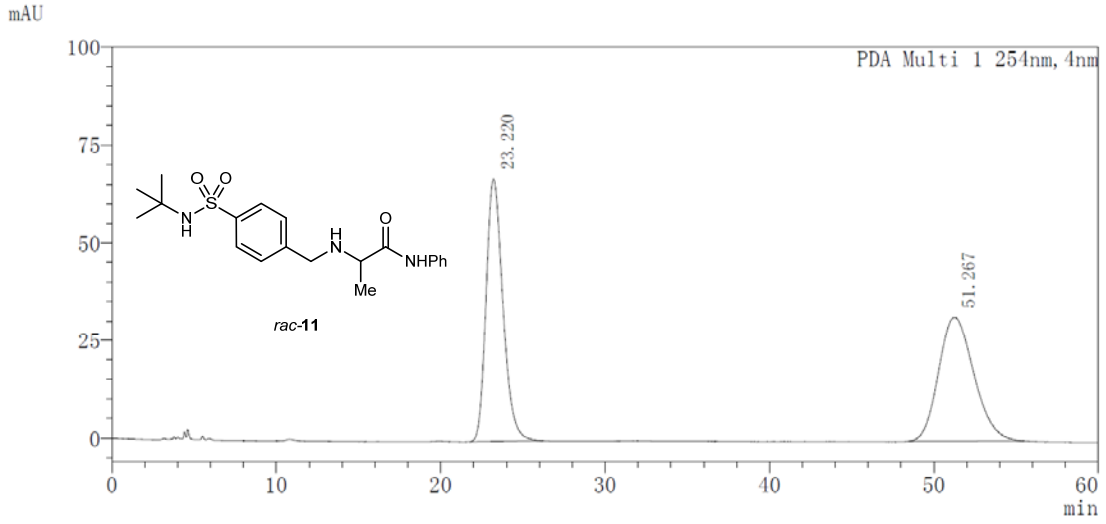
Peak#	Ret. Time	Area	Area%
1	10.560	3117244	49.955
2	13.379	3122801	50.045



Peak Table

PDA Ch1 254nm

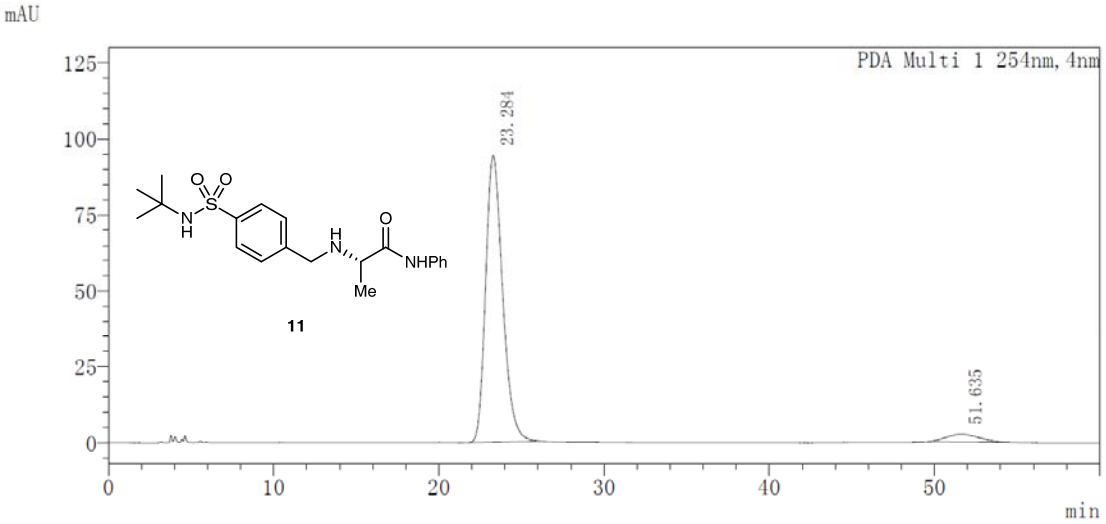
Peak#	Ret. Time	Area	Area%
1	10.536	5403435	95.447
2	13.404	257741	4.553



Peak Table

PDA Ch1 254nm

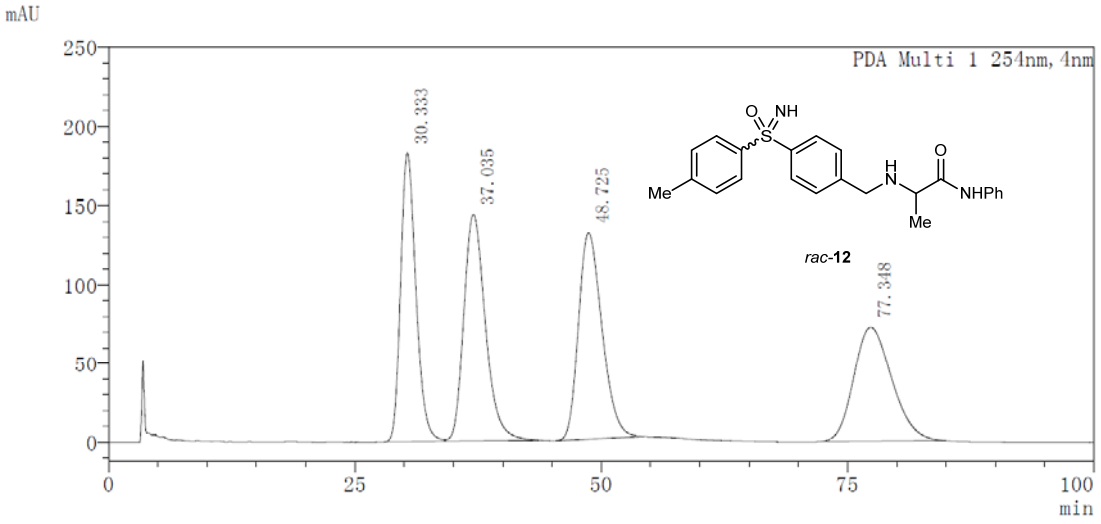
Peak#	Ret. Time	Area	Area%
1	23.220	4777237	50.163
2	51.267	4746172	49.837



Peak Table

PDA Ch1 254nm

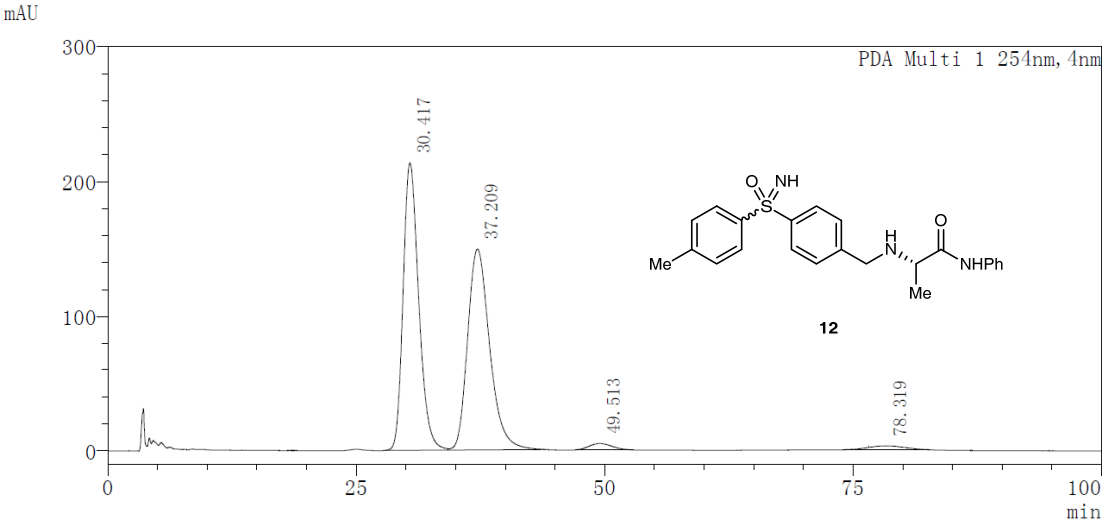
Peak#	Ret. Time	Area	Area%
1	23.284	6733160	95.002
2	51.635	354227	4.998



Peak Table

PDA Ch1 254nm

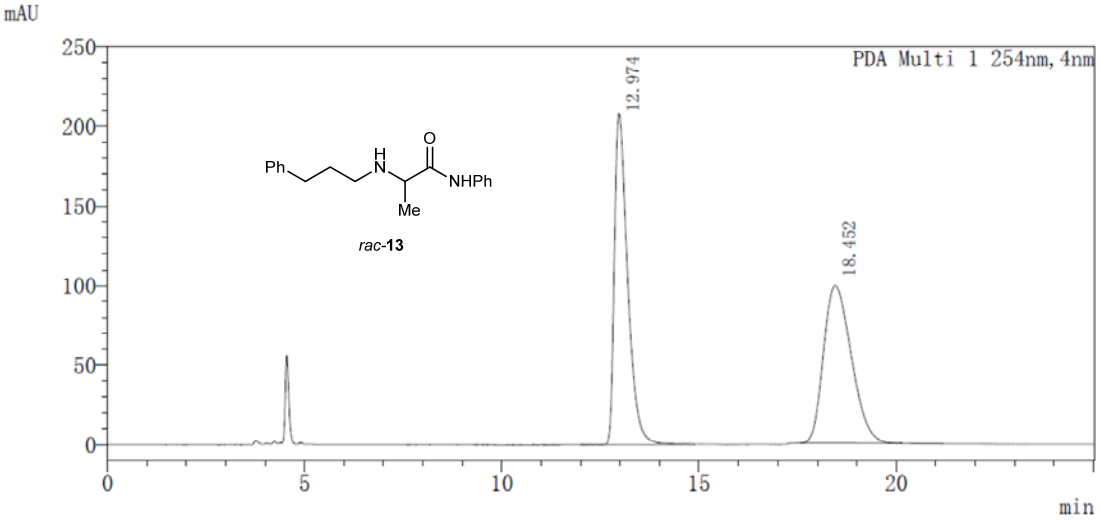
Peak#	Ret. Time	Area	Area%
1	30.333	19795258	23.800
2	37.035	22002380	26.454
3	48.725	21869703	26.294
4	77.348	19504868	23.451



Peak Table

PDA Ch1 254nm

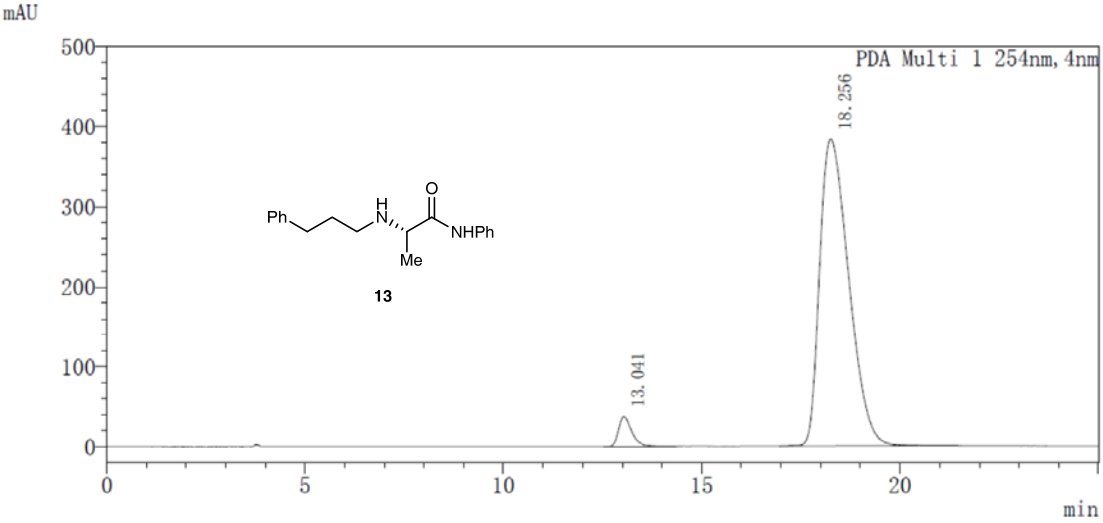
Peak#	Ret. Time	Area	Area%
1	30.417	23337575	48.714
2	37.209	23067487	48.150
3	49.513	761885	1.590
4	78.319	740152	1.545



Peak Table

PDA Ch1 254nm

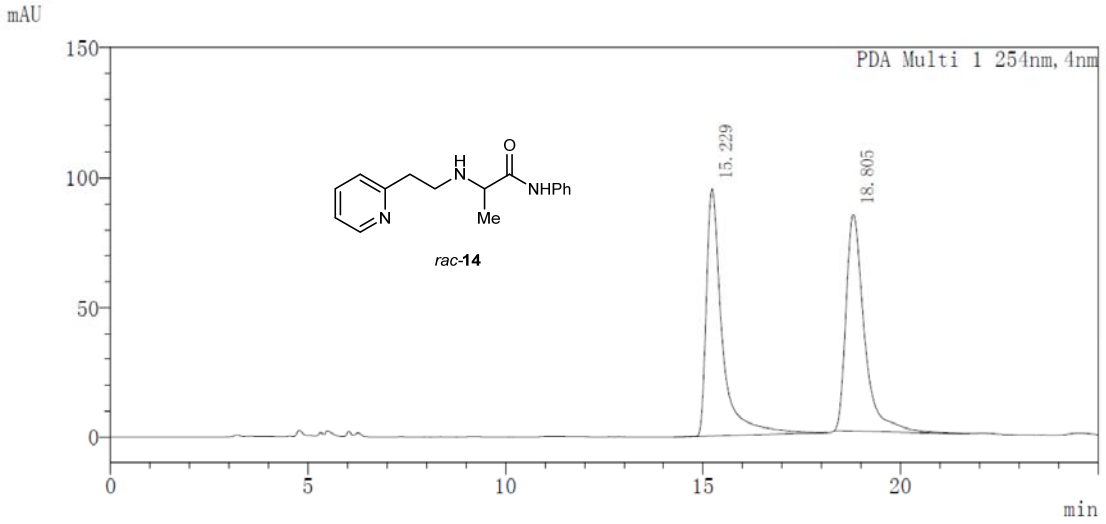
Peak#	Ret. Time	Area	Area%
1	12.974	4841221	49.963
2	18.452	4848488	50.037



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.041	861953	4.215
2	18.256	19588797	95.785



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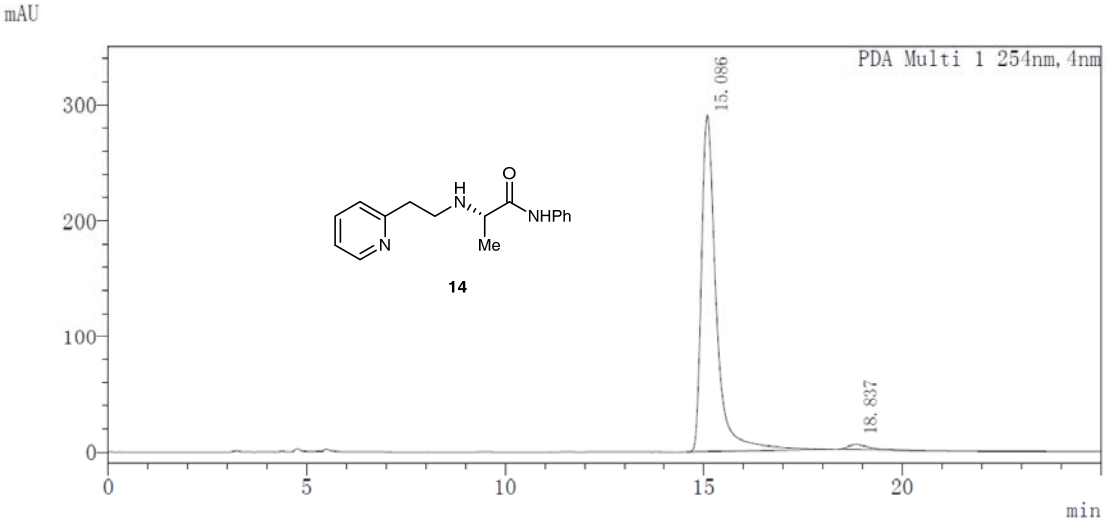
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.229	2658649	49.512
2	18.805	2711092	50.488



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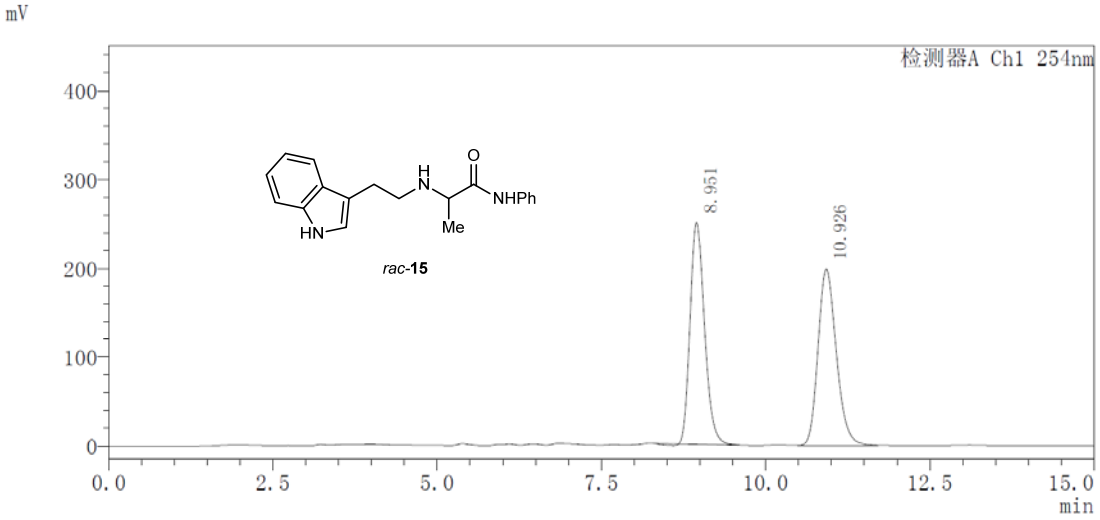
Peak Table

PDA Ch1 254nm

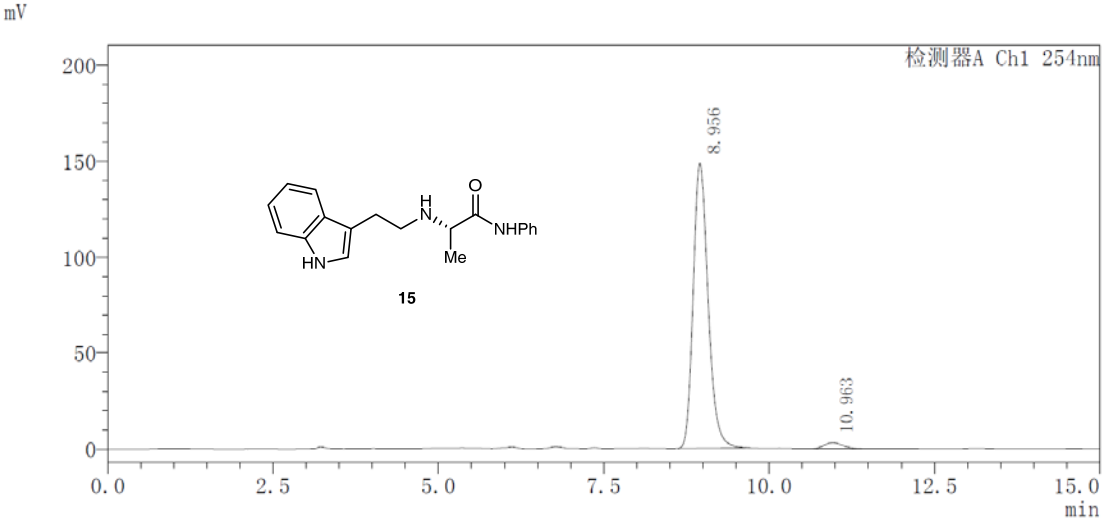
Peak#	Ret. Time	Area	Area%
1	15.086	7566308	97.917
2	18.837	160964	2.083



Peak Table

检测器A Ch1 254nm

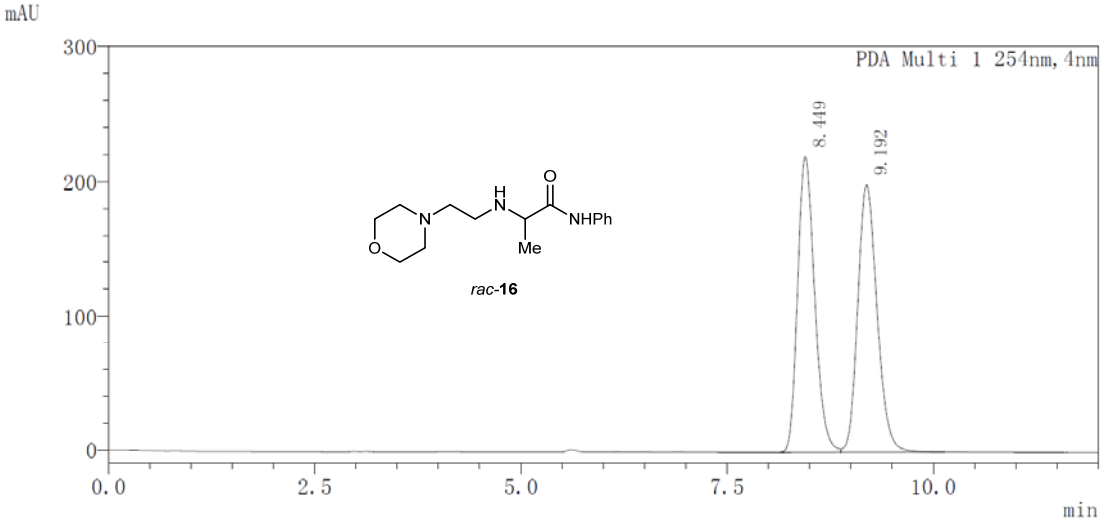
Peak#	Ret. Time	Area	Area%
1	8.951	3757680	49.429
2	10.926	3844520	50.571



Peak Table

检测器A Ch1 254nm

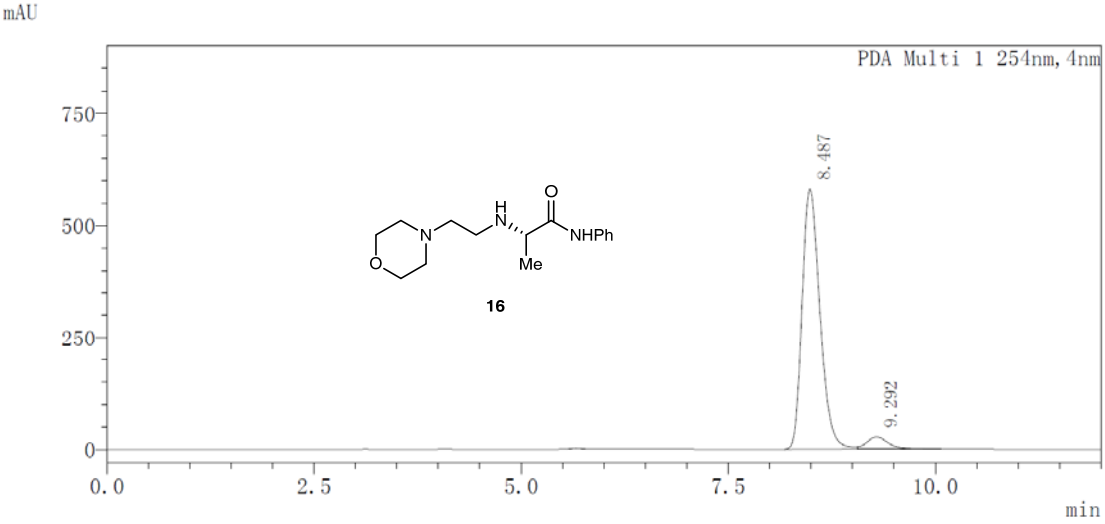
Peak#	Ret. Time	Area	Area%
1	8.956	2301141	97.424
2	10.963	60843	2.576



Peak Table

PDA Ch1 254nm

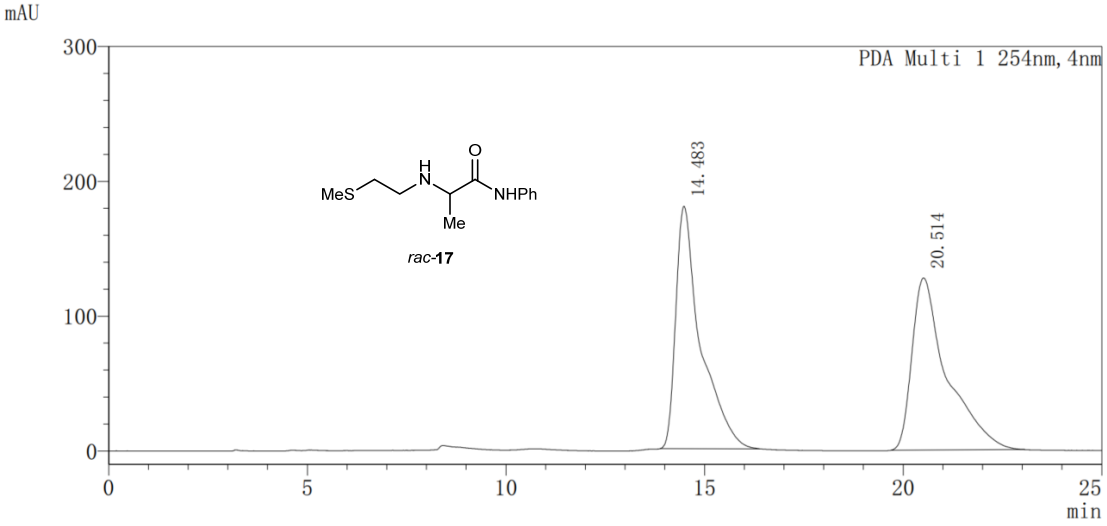
Peak#	Ret. Time	Area	Area%
1	8.449	3173077	49.444
2	9.192	3244447	50.556



Peak Table

PDA Ch1 254nm

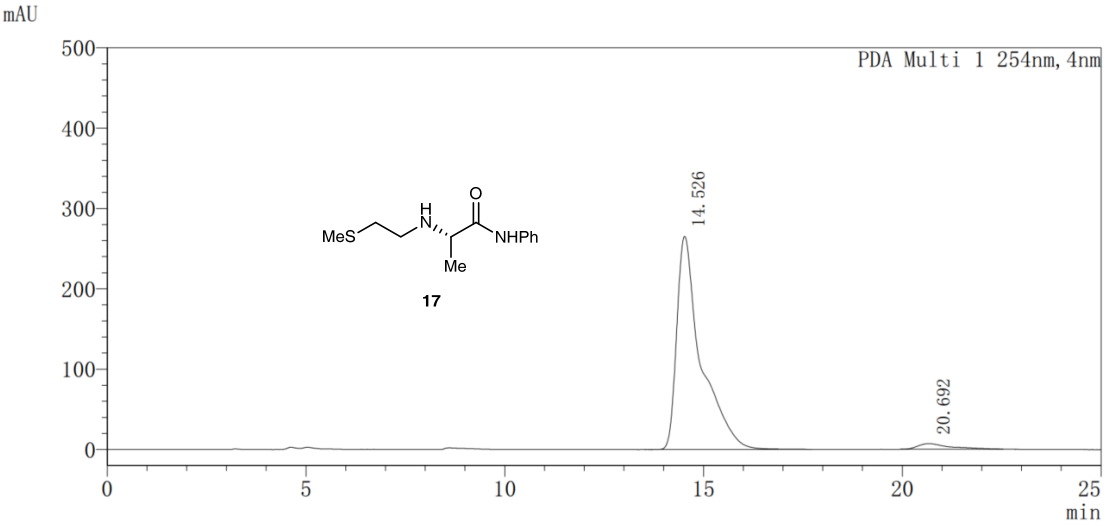
Peak#	Ret. Time	Area	Area%
1	8.487	8711969	94.616
2	9.292	495760	5.384



Peak Table

PDA Ch1 254nm

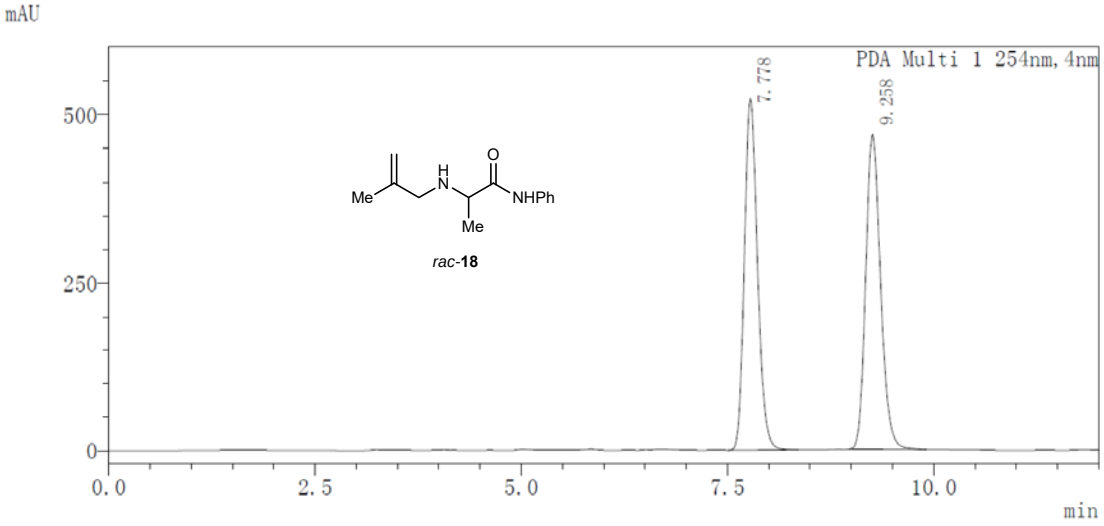
Peak#	Ret. Time	Area	Area%
1	14.483	7817462	50.014
2	20.514	7813187	49.986



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.526	11263530	96.606
2	20.692	395758	3.394



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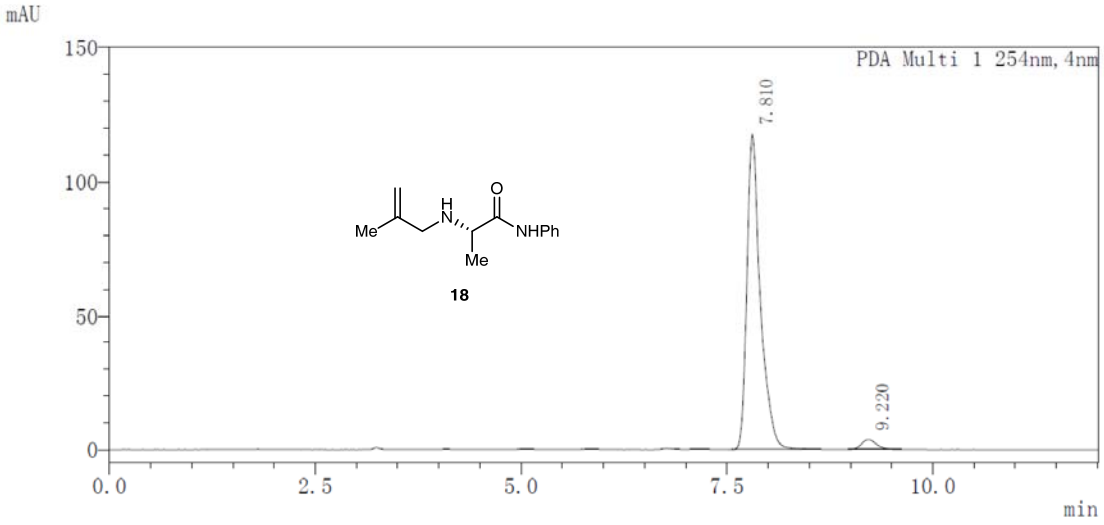
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.778	5796746	49.517
2	9.258	5909911	50.483



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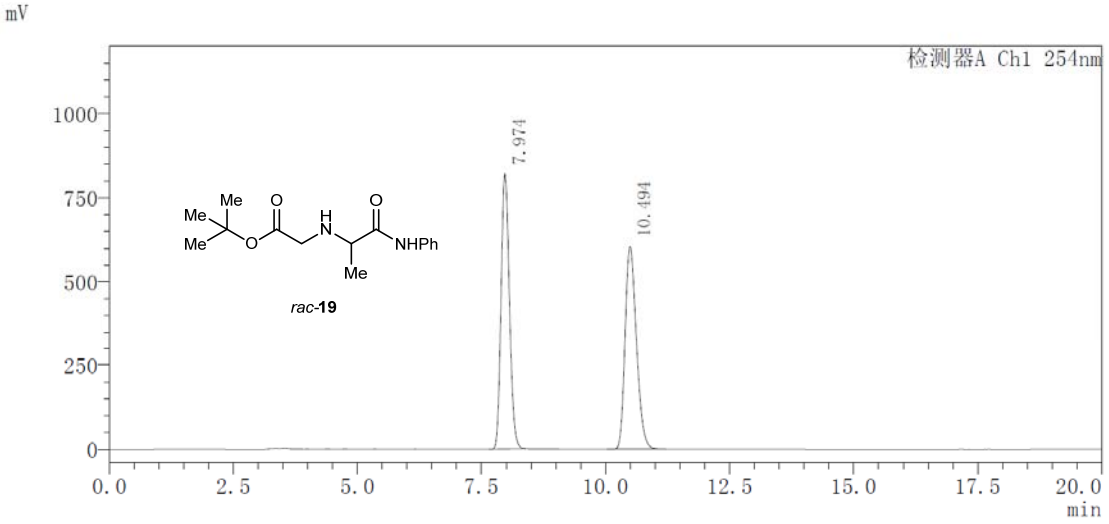
Peak Table

PDA Ch1 254nm

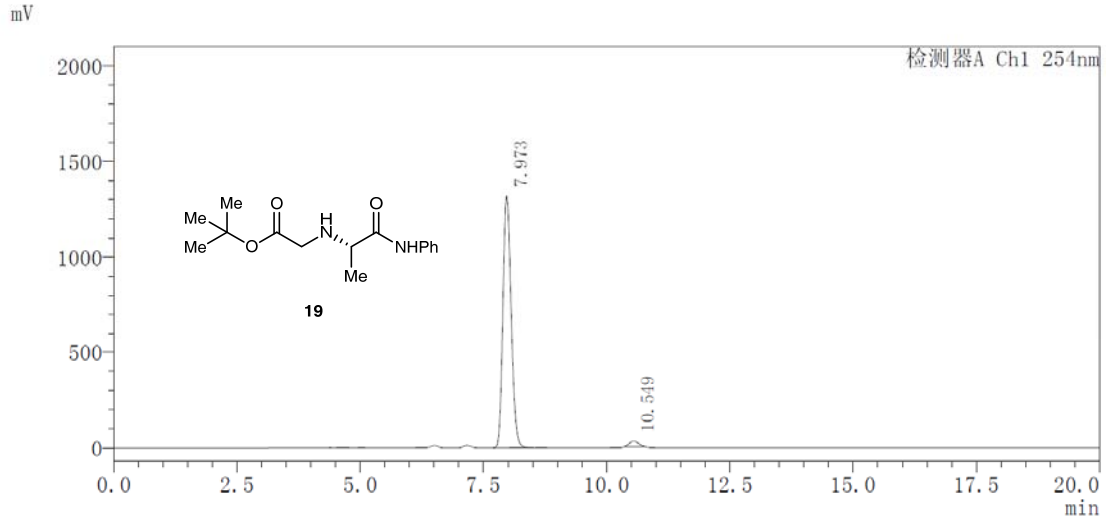
Peak#	Ret. Time	Area	Area%
1	7.810	1338204	96.658
2	9.220	46266	3.342



Peak Table

检测器A Ch1 254nm

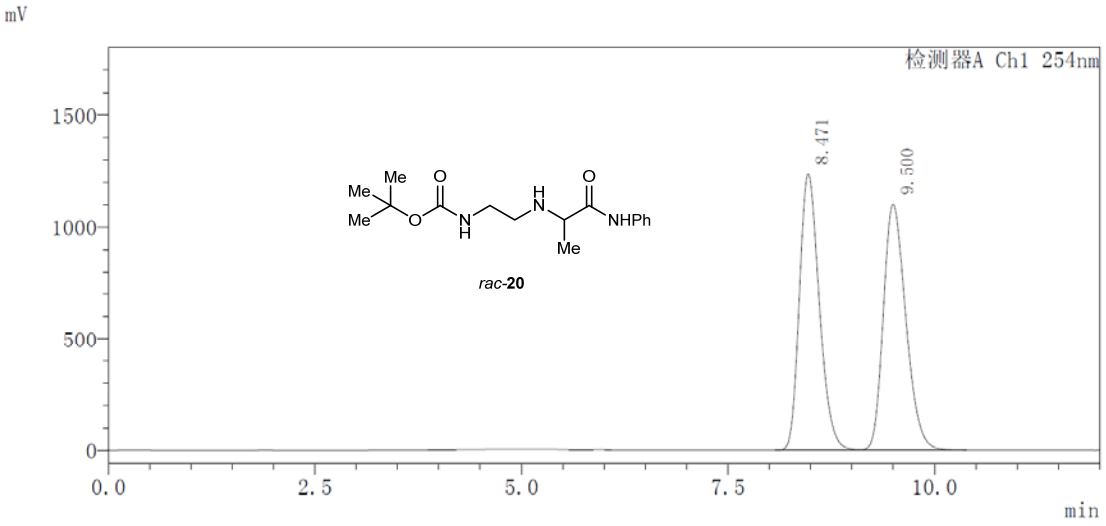
Peak#	Ret. Time	Area	Area%
1	7.974	9505155	49.714
2	10.494	9614642	50.286



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.973	15149423	97.276
2	10.549	424218	2.724



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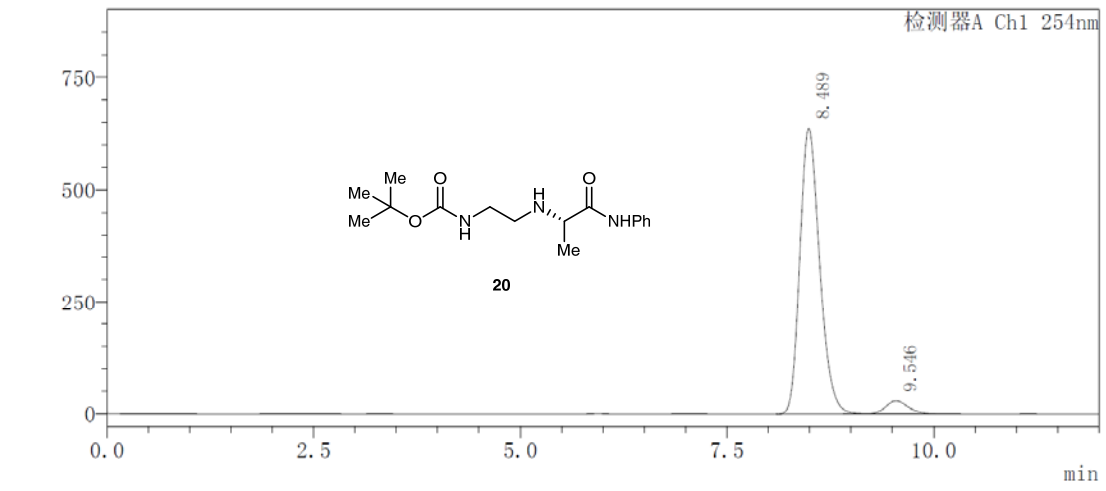
Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.471	20678299	49.799
2	9.500	20844978	50.201



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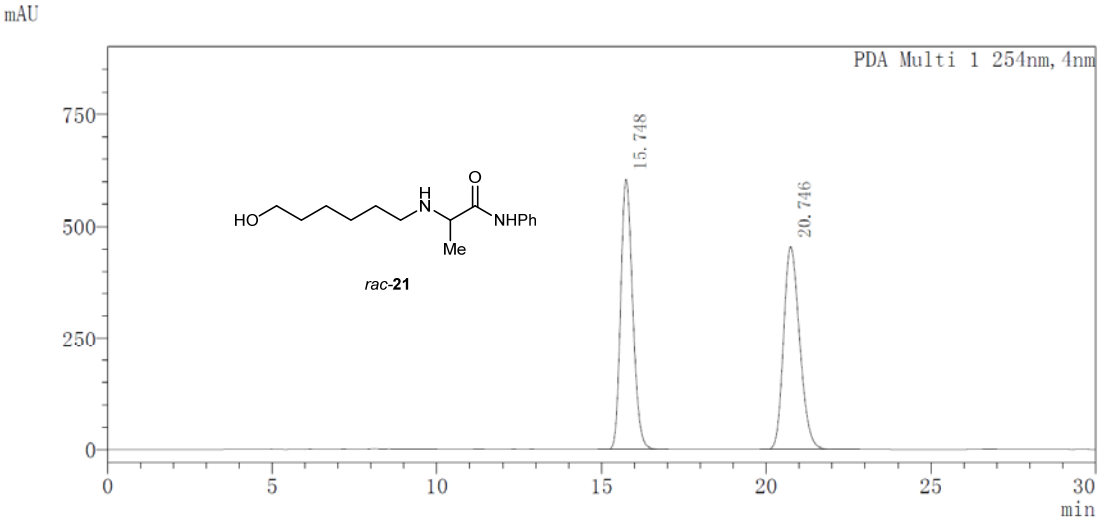
Peak Table

检测器A Ch1 254nm

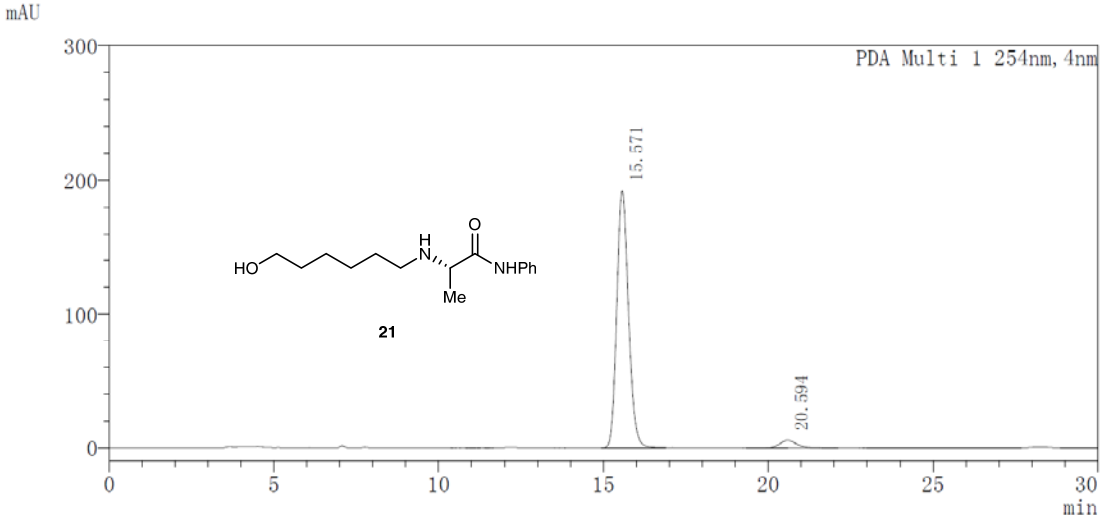
Peak#	Ret. Time	Area	Area%
1	8.489	10625249	95.141
2	9.546	542658	4.859



Peak Table

PDA Ch1 254nm

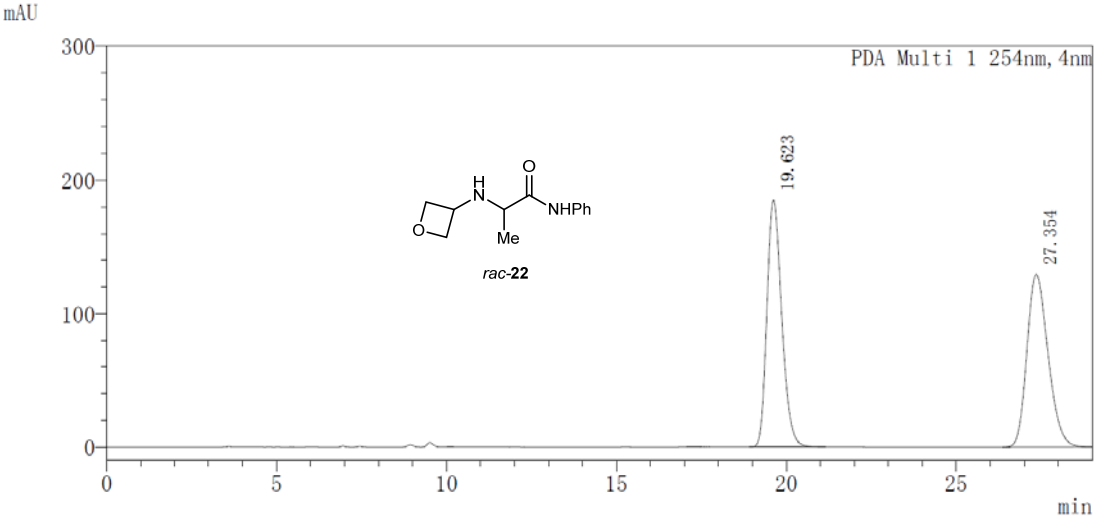
Peak#	Ret. Time	Area	Area%
1	15.748	15275619	49.706
2	20.746	15456091	50.294



Peak Table

PDA Ch1 254nm

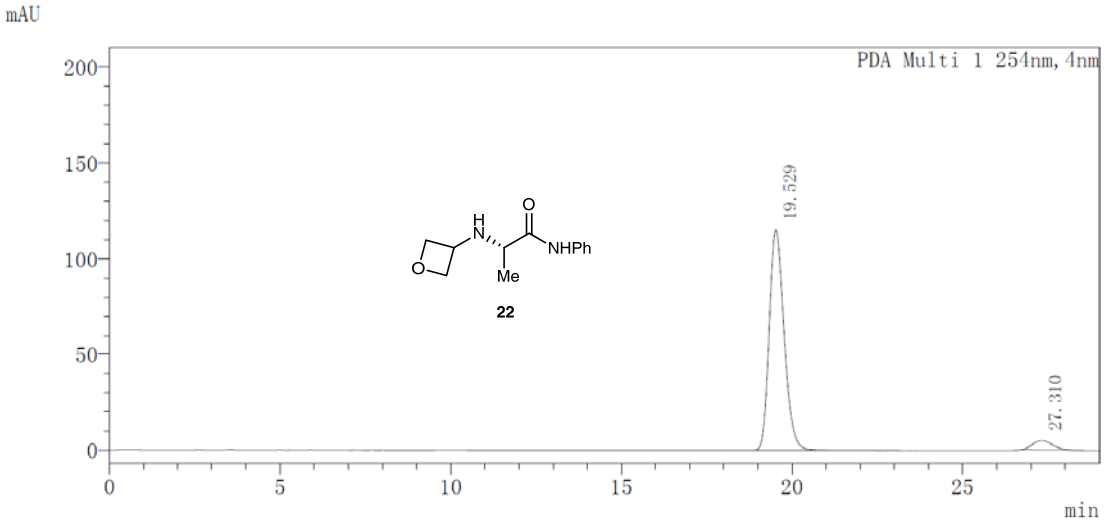
Peak#	Ret. Time	Area	Area%
1	15.571	4748341	96.006
2	20.594	197529	3.994



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.623	5525658	49.992
2	27.354	5527425	50.008

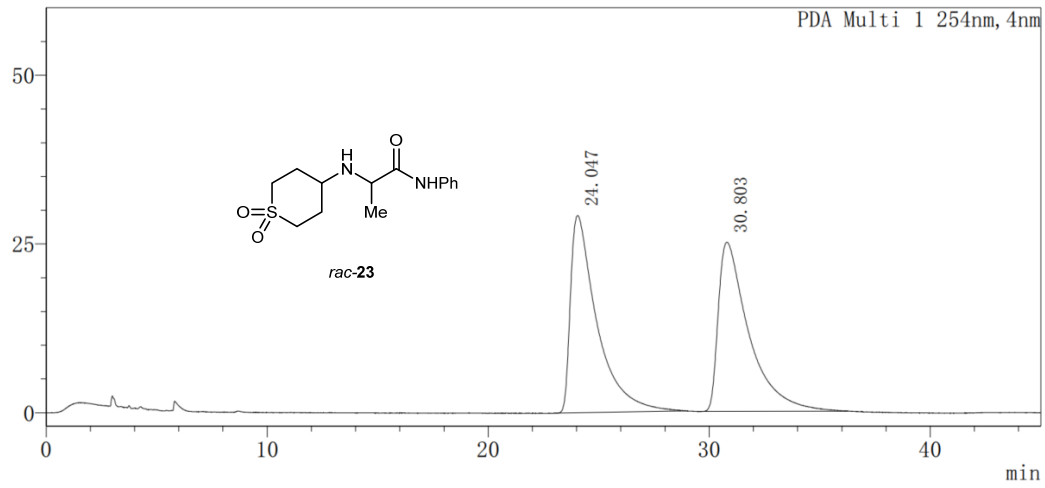


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.529	3505078	94.407
2	27.310	207666	5.593

mAU

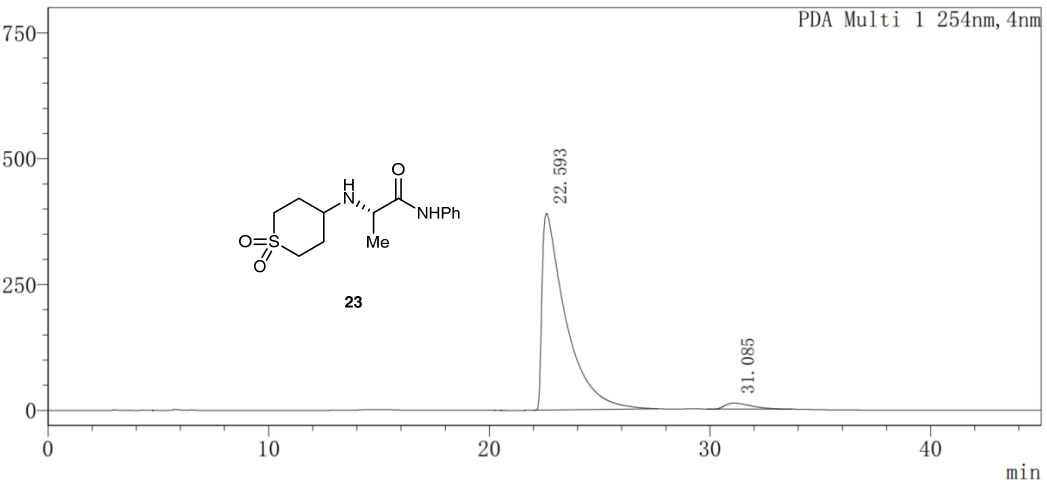


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	24.047	2439138	50.225
2	30.803	2417281	49.775

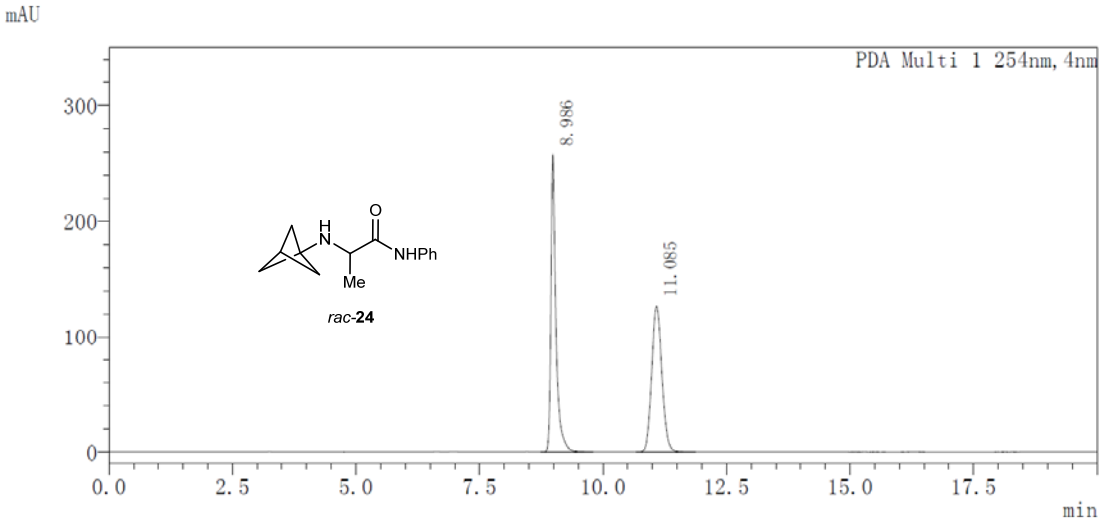
mAU



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	22.593	29423152	96.711
2	31.085	1000744	3.289



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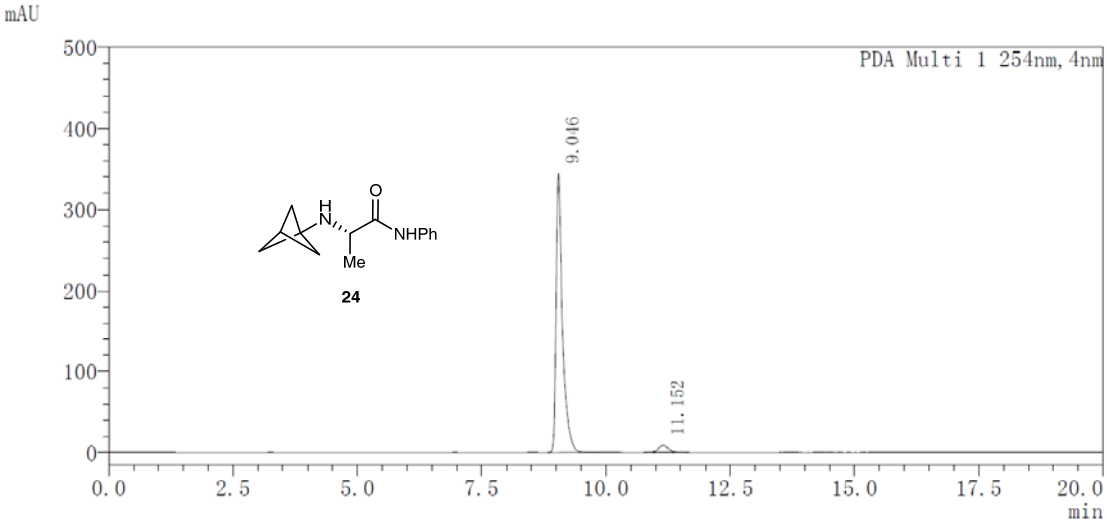
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.986	1825473	50.057
2	11.085	1821312	49.943



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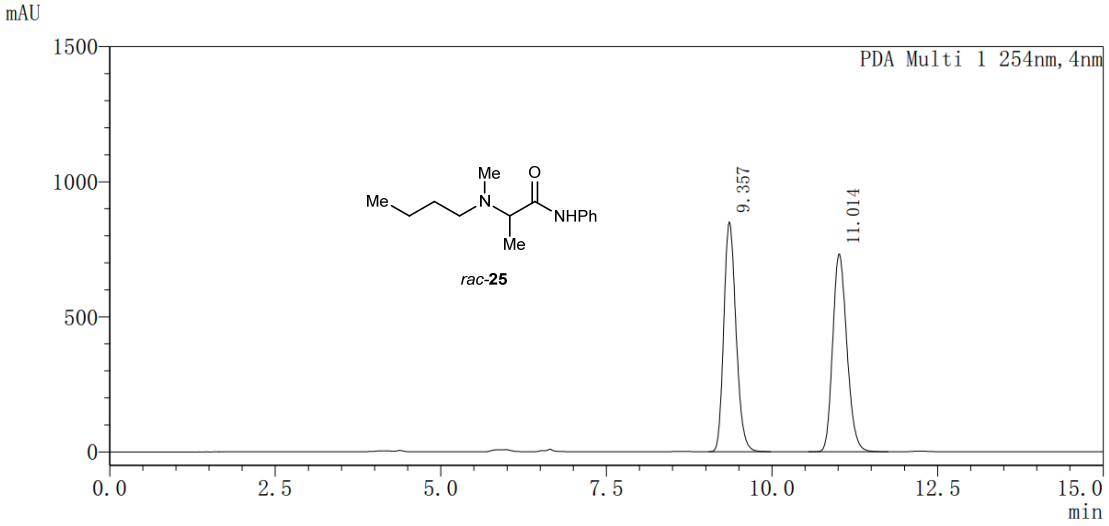
Peak Table

PDA Ch1 254nm

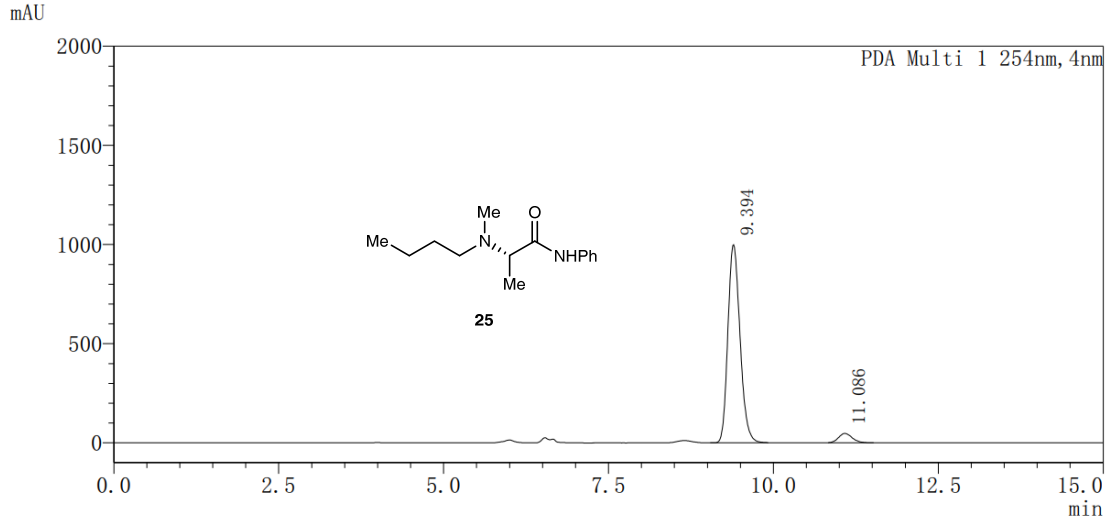
Peak#	Ret. Time	Area	Area%
1	9.046	3068449	95.882
2	11.152	131780	4.118



Peak Table

PDA Ch1 254nm

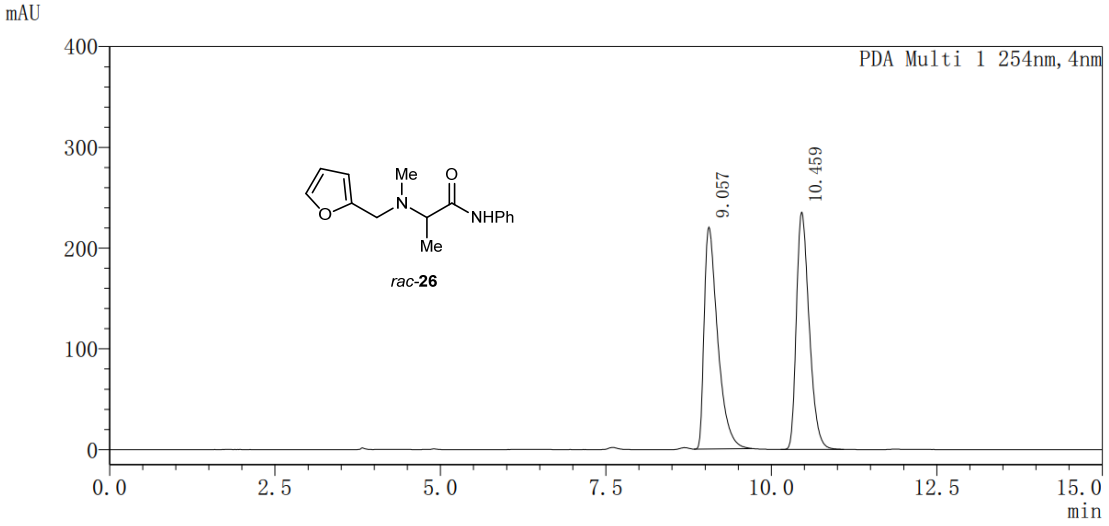
Peak#	Ret. Time	Area	Area%
1	9.357	10942731	50.006
2	11.014	10940249	49.994



Peak Table

PDA Ch1 254nm

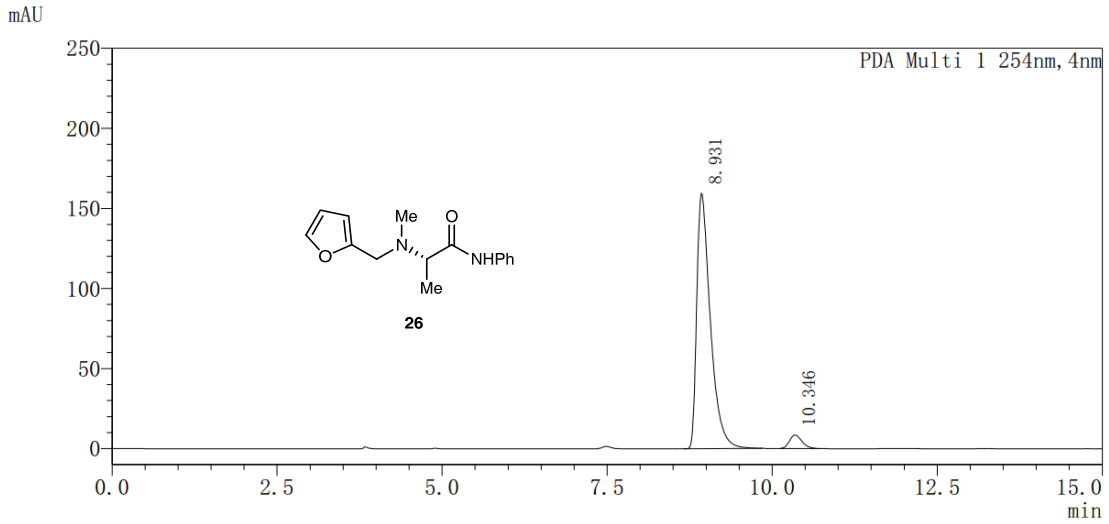
Peak#	Ret. Time	Area	Area%
1	9.394	12788006	94.988
2	11.086	674738	5.012



Peak Table

PDA Ch1 254nm

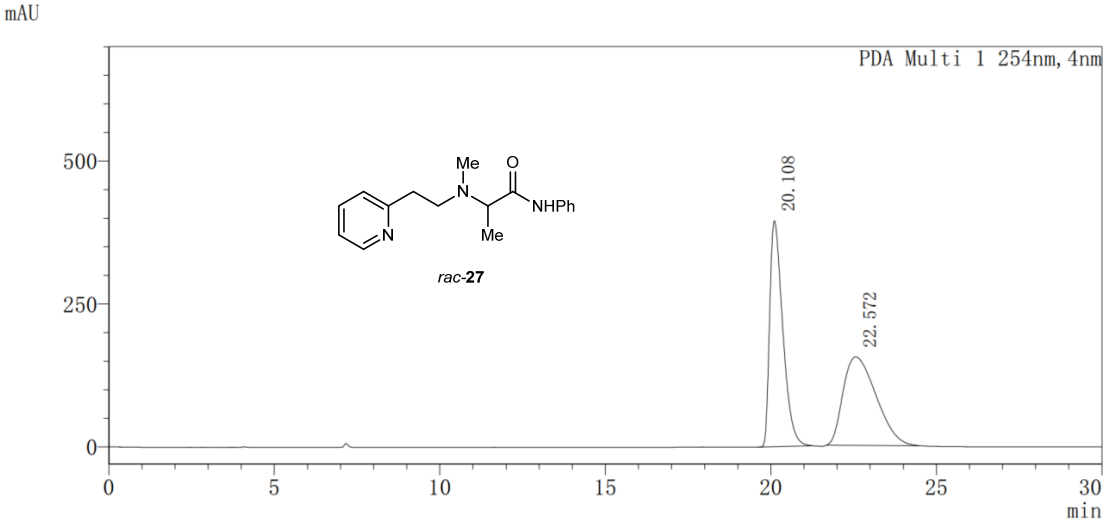
Peak#	Ret. Time	Area	Area%
1	9.057	3133727	49.695
2	10.459	3172195	50.305



Peak Table

PDA Ch1 254nm

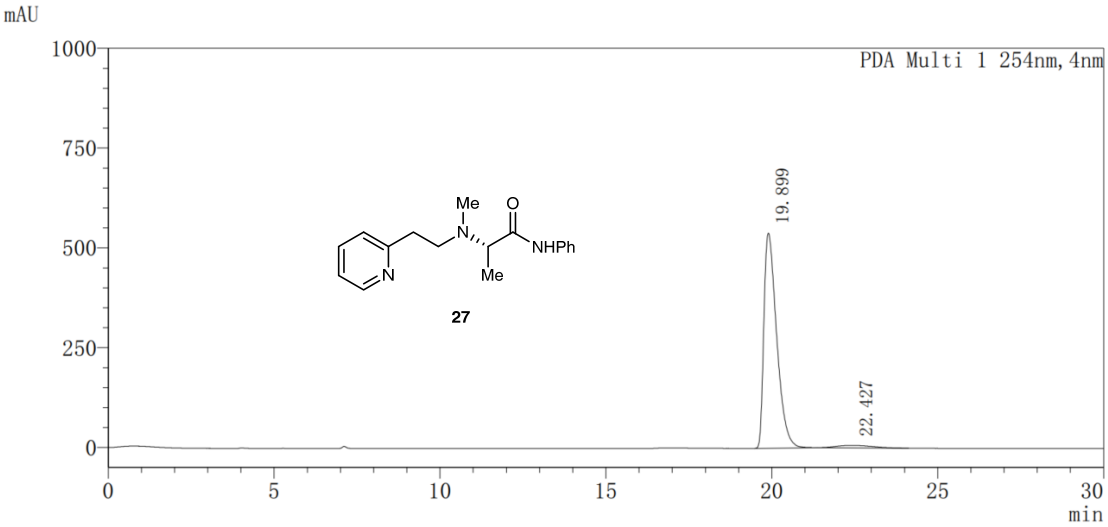
Peak#	Ret. Time	Area	Area%
1	8.931	2291123	95.413
2	10.346	110137	4.587



Peak Table

PDA Ch1 254nm

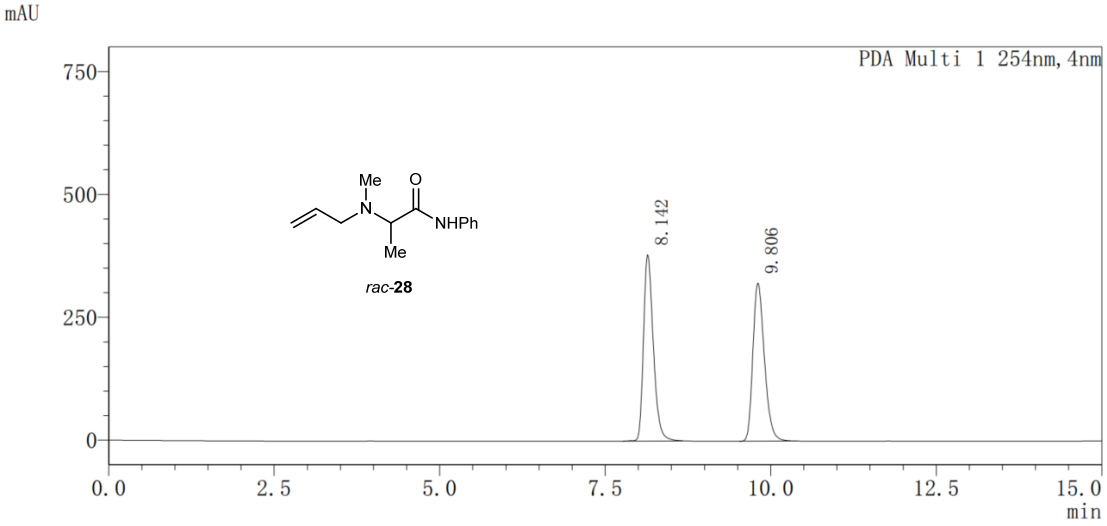
Peak#	Ret. Time	Area	Area%
1	20.108	10919806	50.358
2	22.572	10764485	49.642



Peak Table

PDA Ch1 254nm

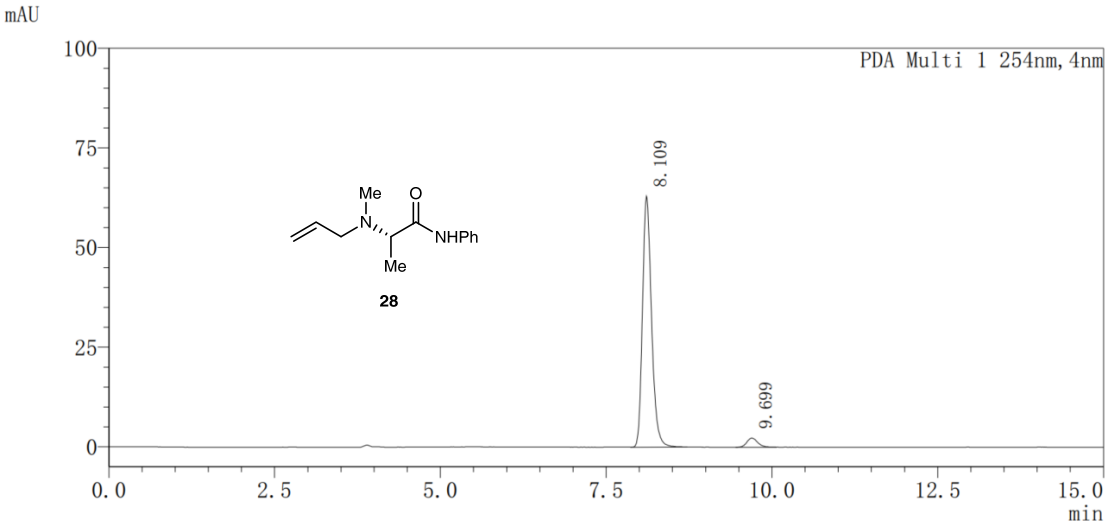
Peak#	Ret. Time	Area	Area%
1	19.899	14507061	96.840
2	22.427	473354	3.160



Peak Table

PDA Ch1 254nm

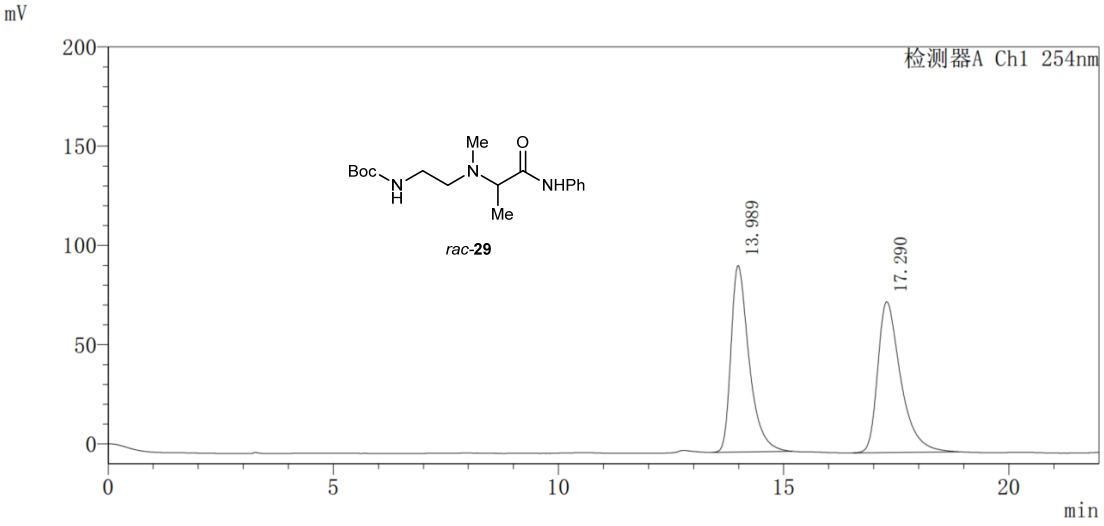
Peak#	Ret. Time	Area	Area%
1	8.142	3911052	50.058
2	9.806	3901955	49.942



Peak Table

PDA Ch1 254nm

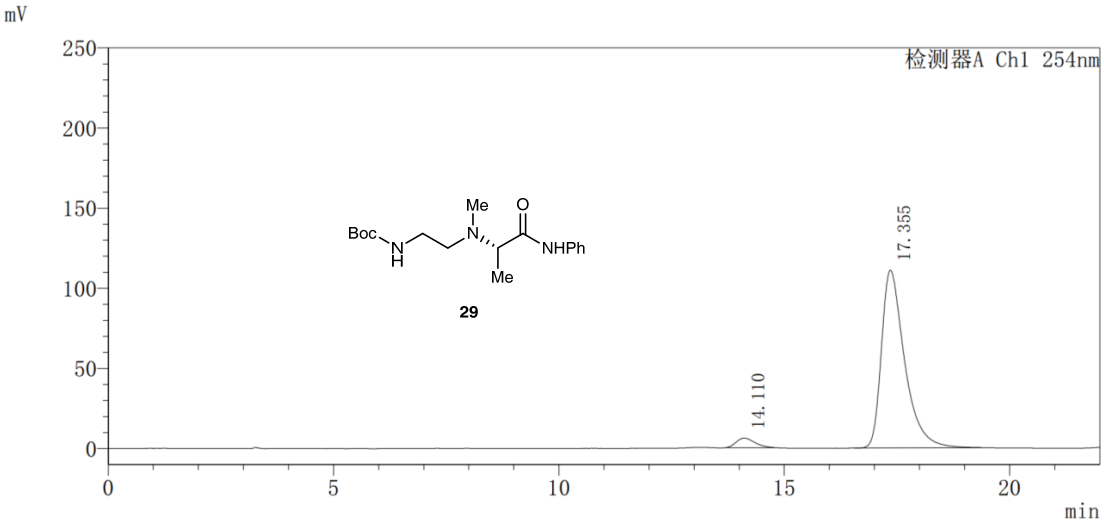
Peak#	Ret. Time	Area	Area%
1	8.109	598551	95.809
2	9.699	26184	4.191



Peak Table

检测器A Ch1 254nm

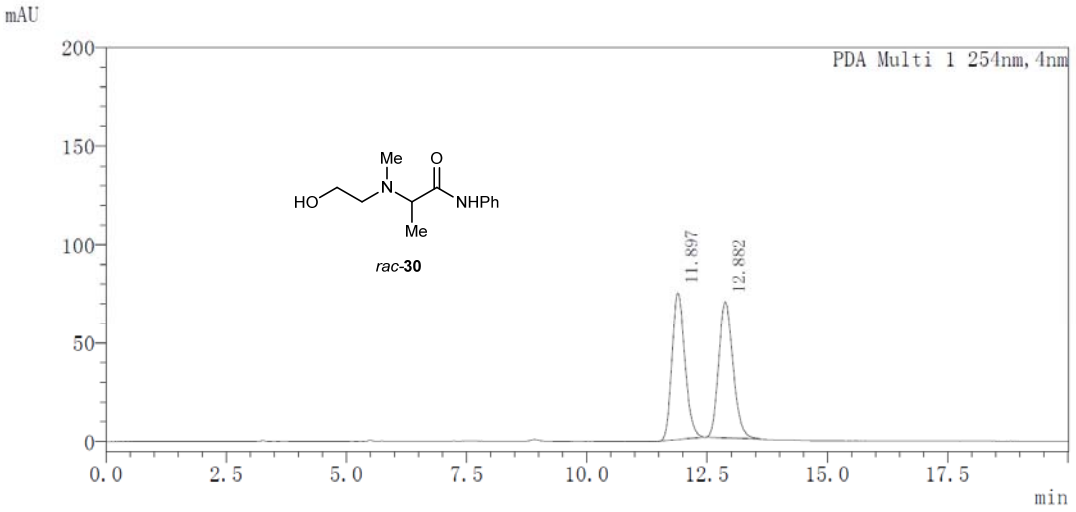
Peak#	Ret. Time	Area	Area%
1	13.989	2636188	49.348
2	17.290	2705805	50.652



Peak Table

检测器A Ch1 254nm

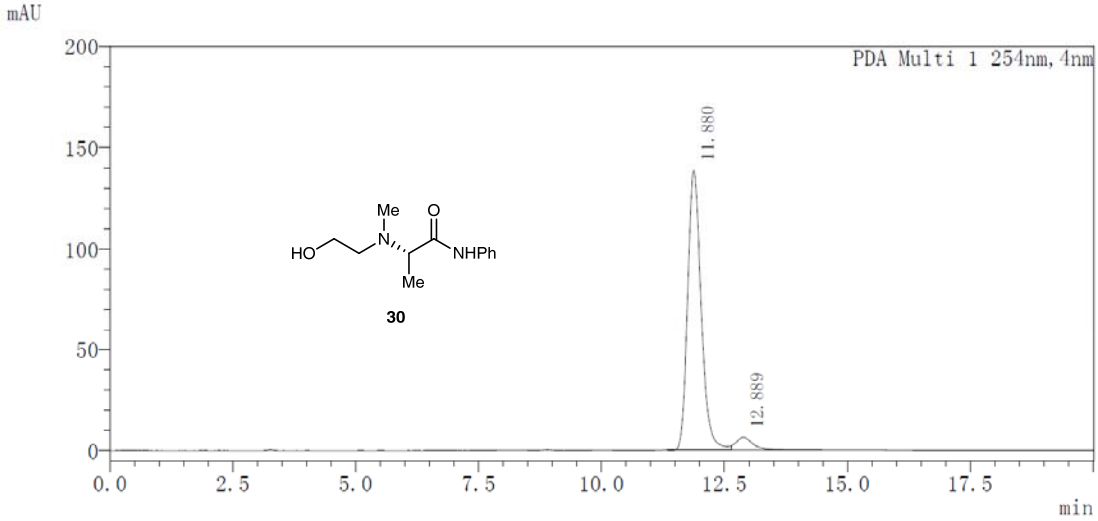
Peak#	Ret. Time	Area	Area%
1	14.110	168875	4.084
2	17.355	3965926	95.916



Peak Table

PDA Ch1 254nm

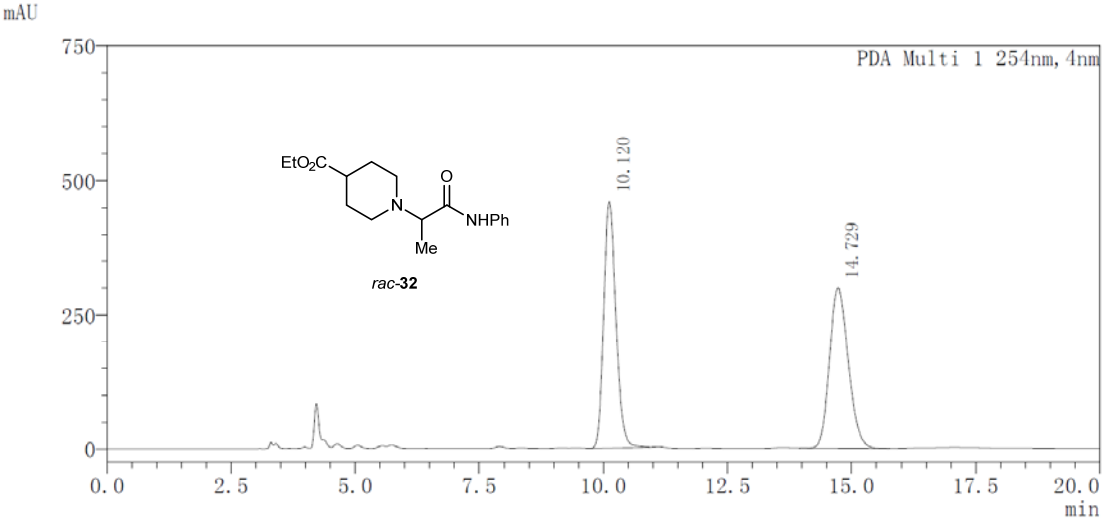
Peak#	Ret. Time	Area	Area%
1	11.897	1394559	49.217
2	12.882	1438955	50.783



Peak Table

PDA Ch1 254nm

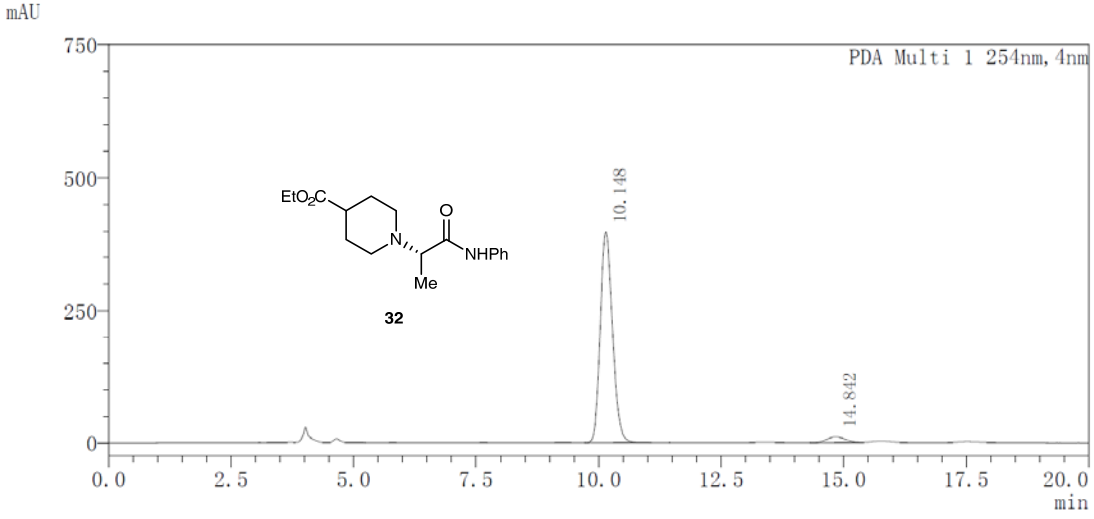
Peak#	Ret. Time	Area	Area%
1	11.880	2644196	94.810
2	12.889	144742	5.190



Peak Table

PDA Ch1 254nm

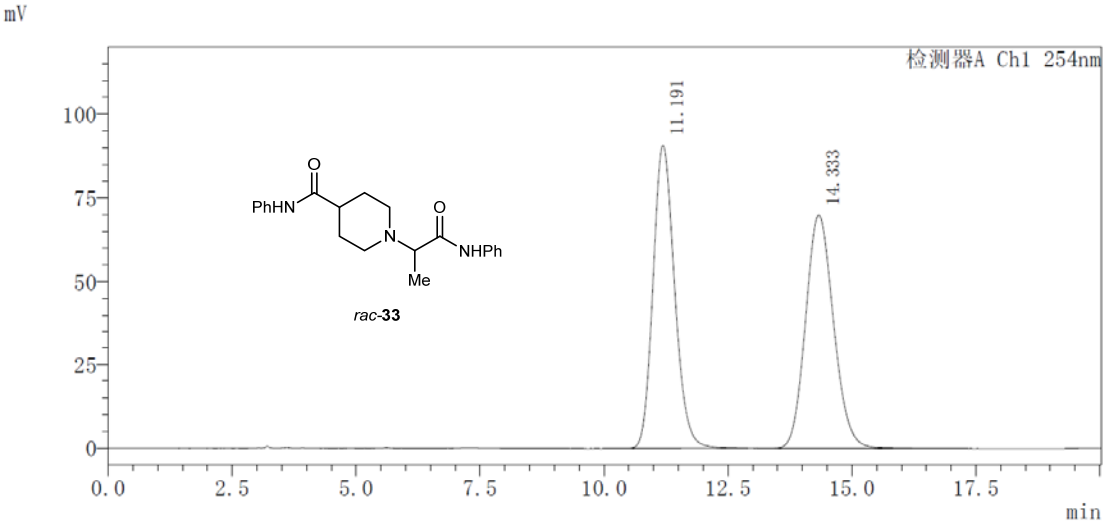
Peak#	Ret. Time	Area	Area%
1	10.120	7895702	50.021
2	14.729	7889048	49.979



Peak Table

PDA Ch1 254nm

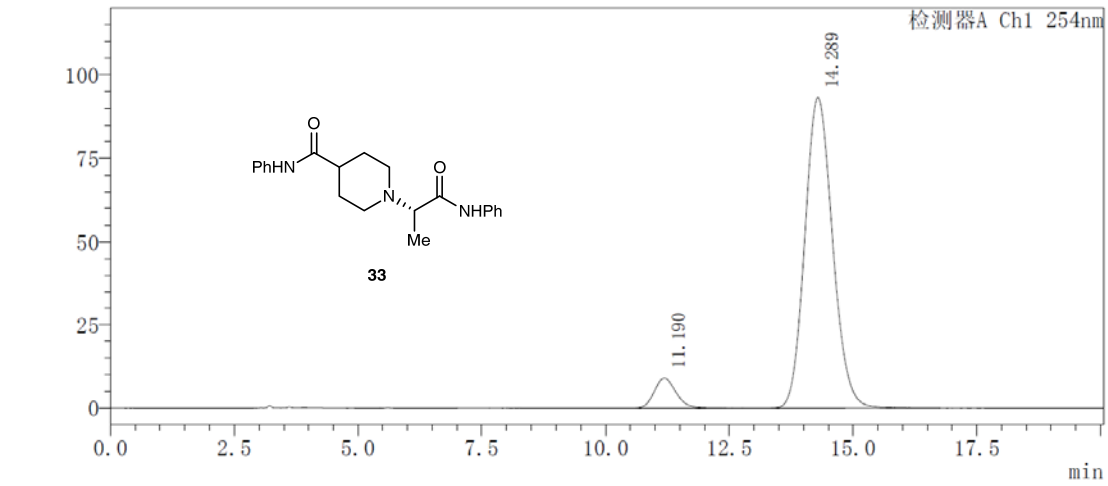
Peak#	Ret. Time	Area	Area%
1	10.148	6919915	96.095
2	14.842	281172	3.905



Peak Table

检测器A Ch1 254nm

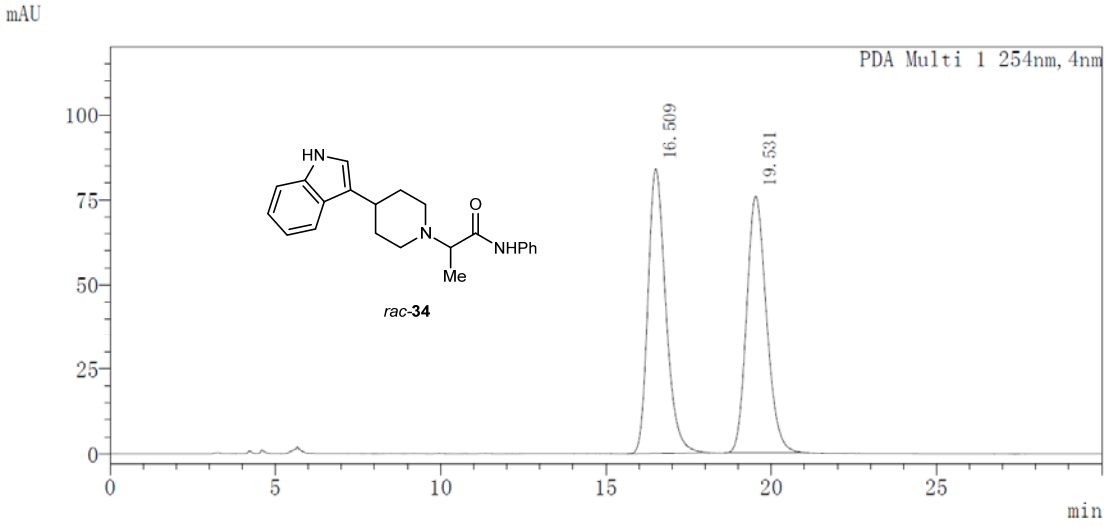
Peak#	Ret. Time	Area	Area%
1	11.191	2754189	50.042
2	14.333	2749600	49.958



Peak Table

检测器A Ch1 254nm

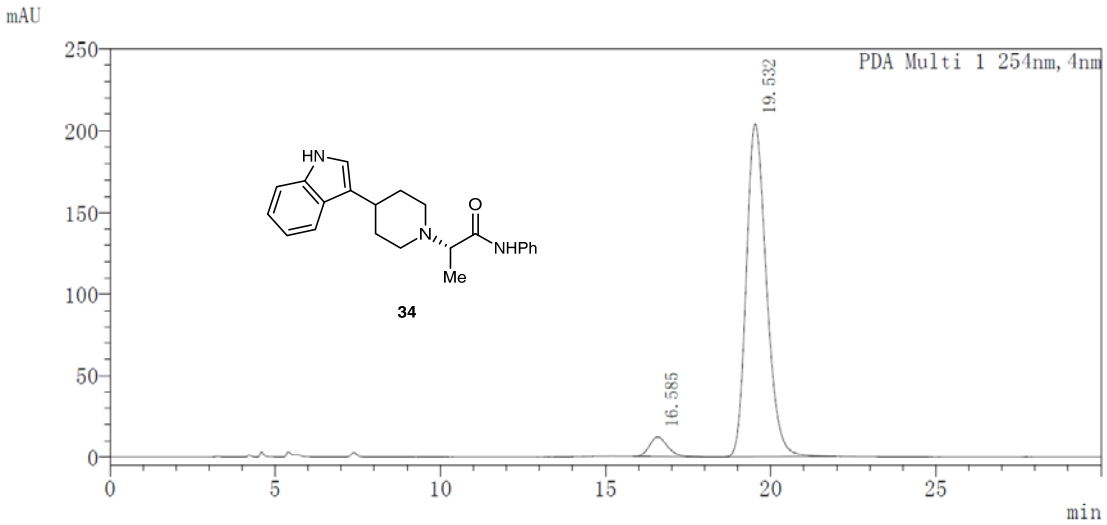
Peak#	Ret. Time	Area	Area%
1	11.190	278910	7.090
2	14.289	3654992	92.910



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	16.509	3155991	49.859
2	19.531	3173885	50.141

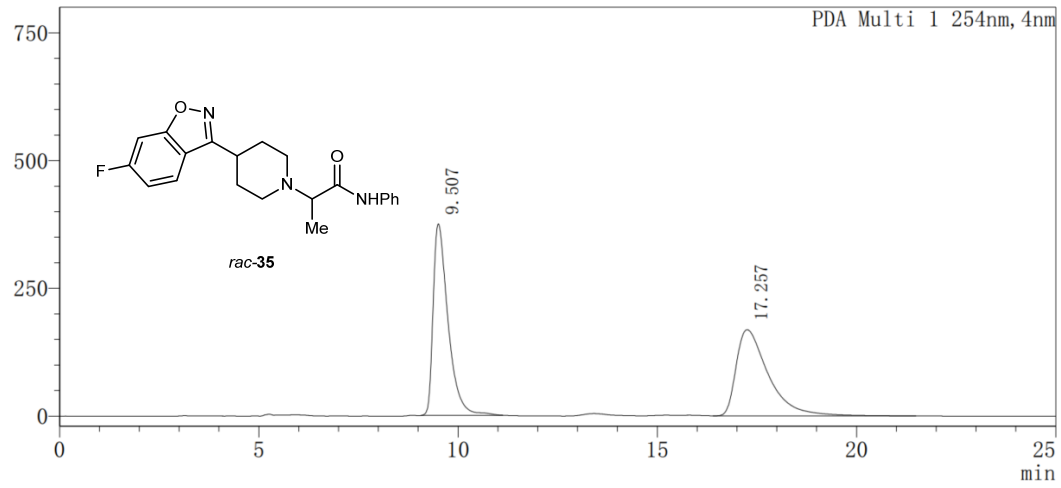


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	16.585	455980	5.013
2	19.532	8639416	94.987

mAU

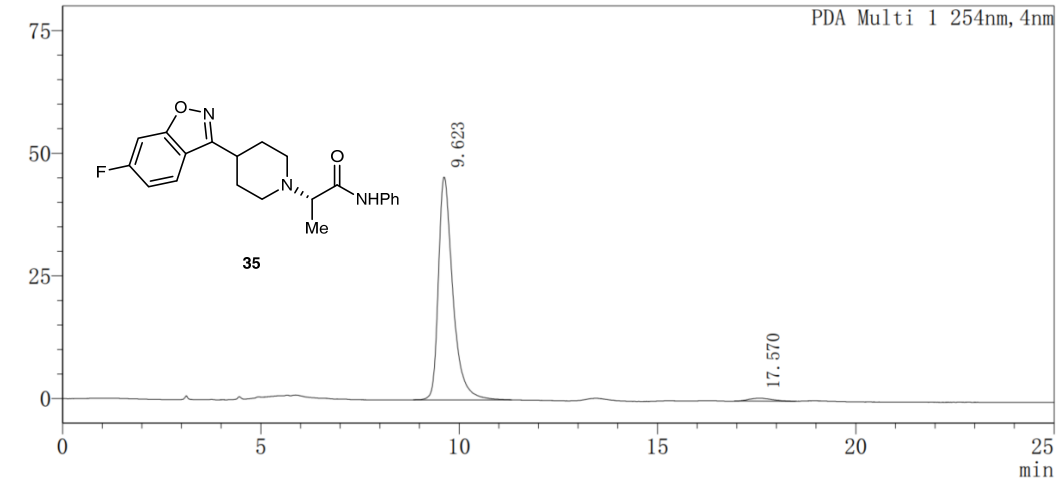


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.507	9783838	50.762
2	17.257	9490246	49.238

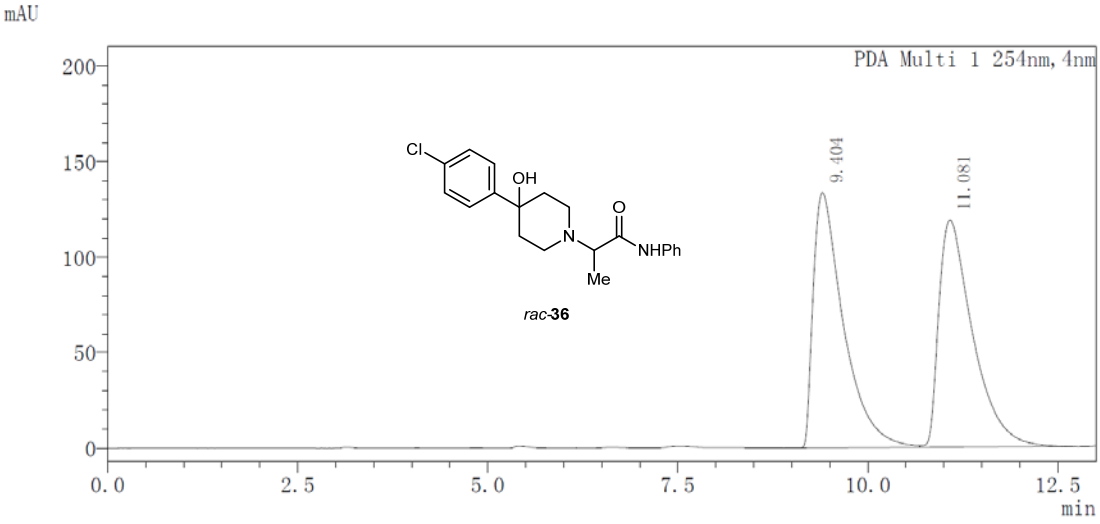
mAU



Peak Table

PDA Ch1 254nm

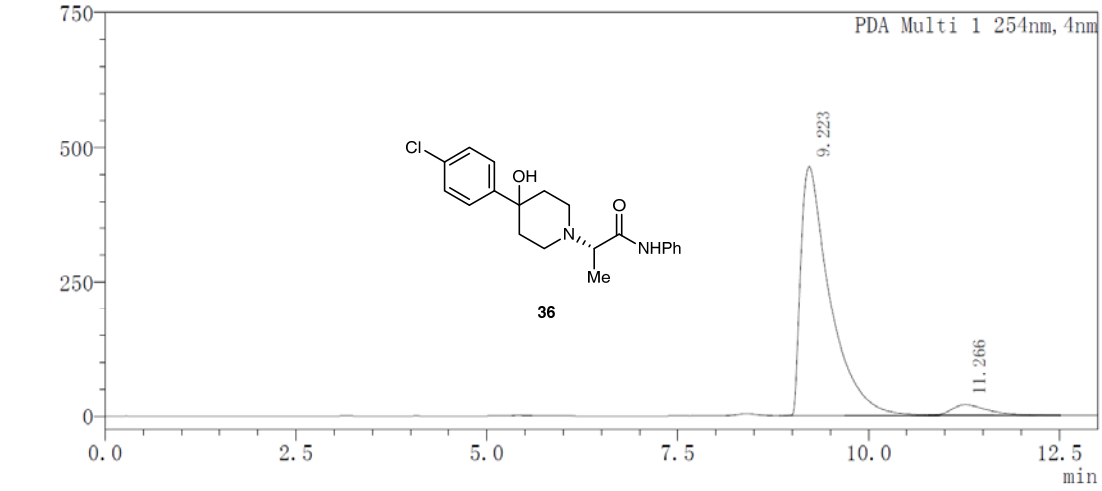
Peak#	Ret. Time	Area	Area%
1	9.623	1149347	97.918
2	17.570	24435	2.082



Peak Table

PDA Ch1 254nm

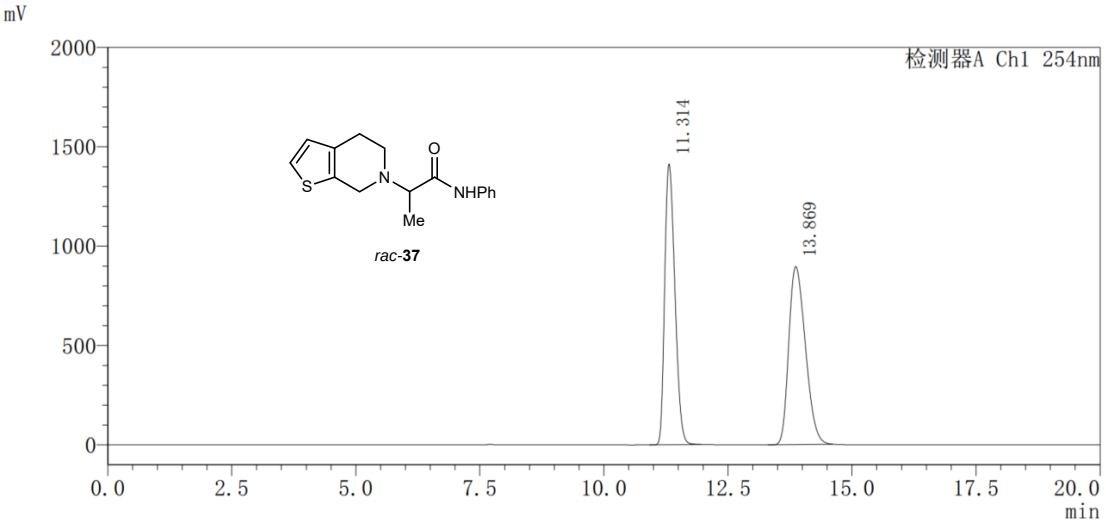
Peak#	Ret. Time	Area	Area%
1	9.404	3702171	50.047
2	11.081	3695153	49.953



Peak Table

PDA Ch1 254nm

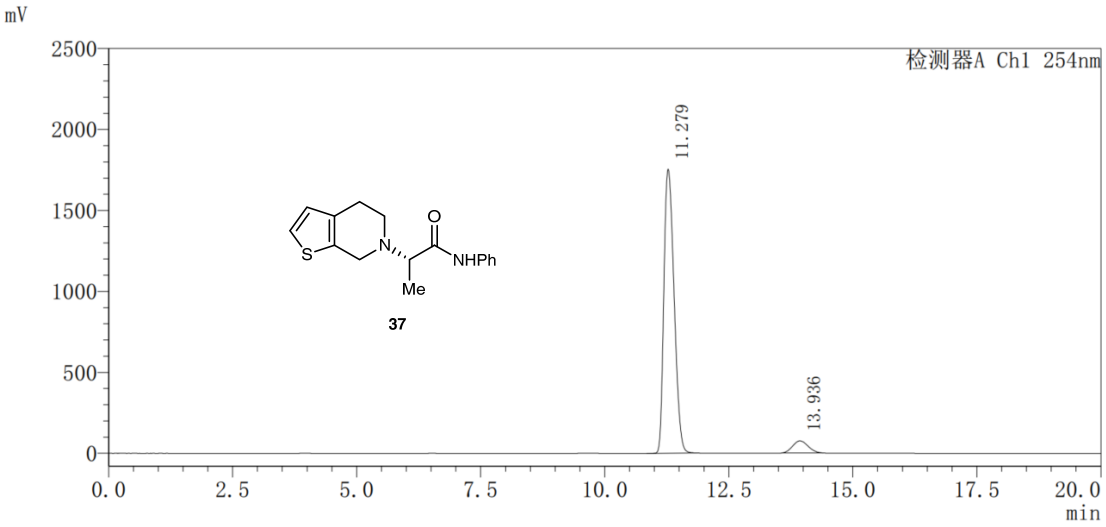
Peak#	Ret. Time	Area	Area%
1	9.223	12474593	95.349
2	11.266	608521	4.651



Peak Table

检测器A Ch1 254nm

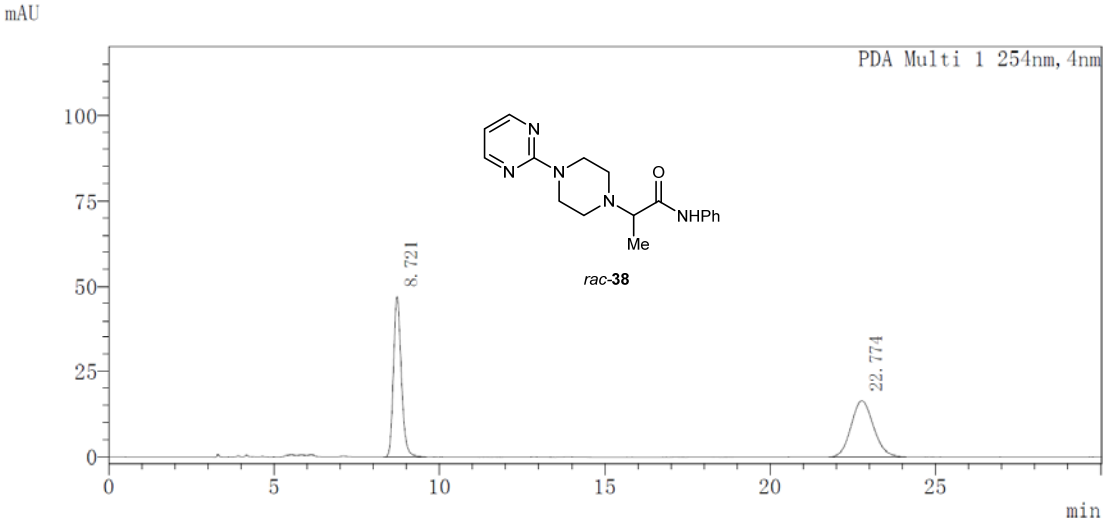
Peak#	Ret. Time	Area	Area%
1	11.314	20244210	49.266
2	13.869	20847541	50.734



Peak Table

检测器A Ch1 254nm

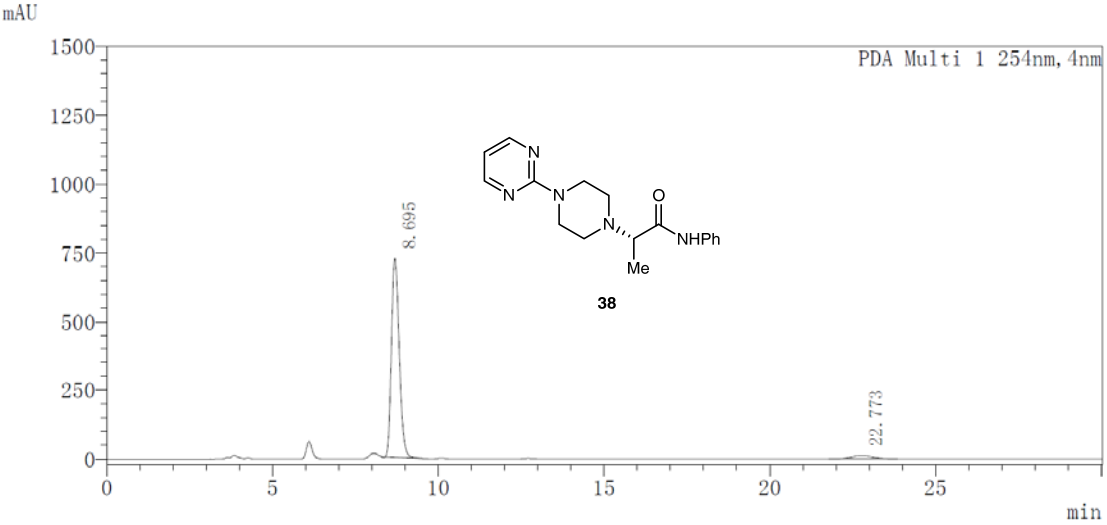
Peak#	Ret. Time	Area	Area%
1	11.279	25123770	93.994
2	13.936	1605220	6.006



Peak Table

PDA Ch1 254nm

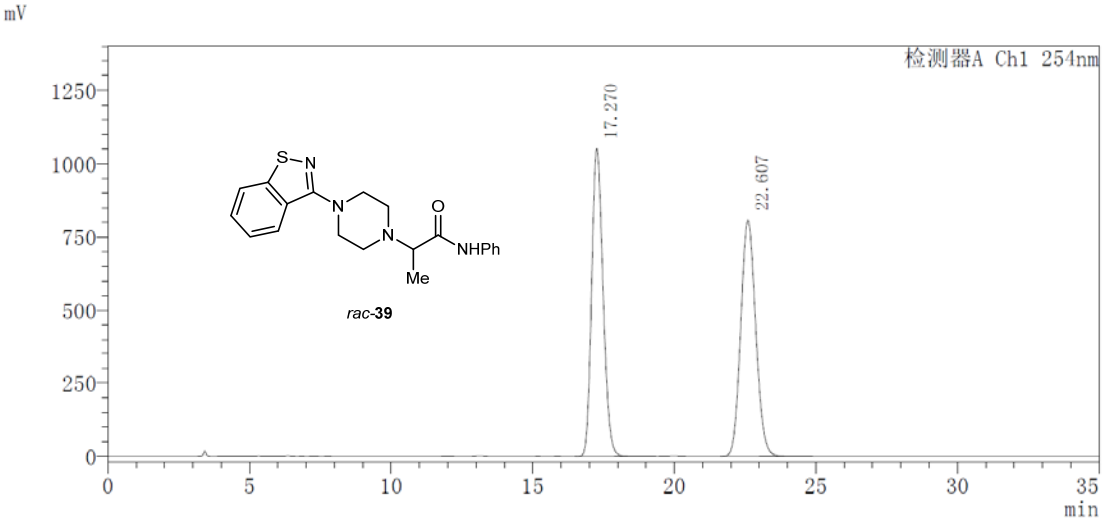
Peak#	Ret. Time	Area	Area%
1	8.721	776544	50.385
2	22.774	764671	49.615



Peak Table

PDA Ch1 254nm

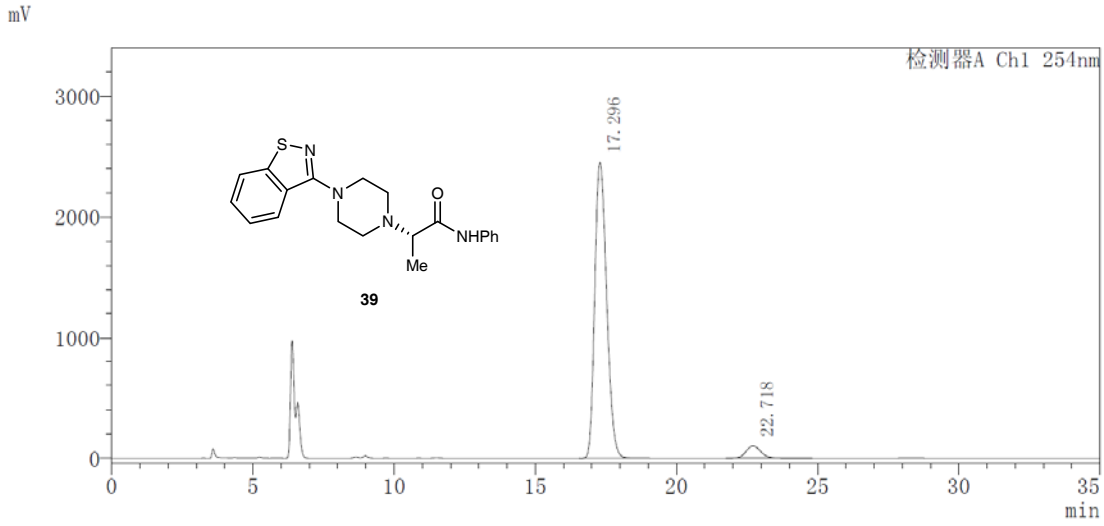
Peak#	Ret. Time	Area	Area%
1	8.695	11824624	95.686
2	22.773	533050	4.314



Peak Table

检测器A Ch1 254nm

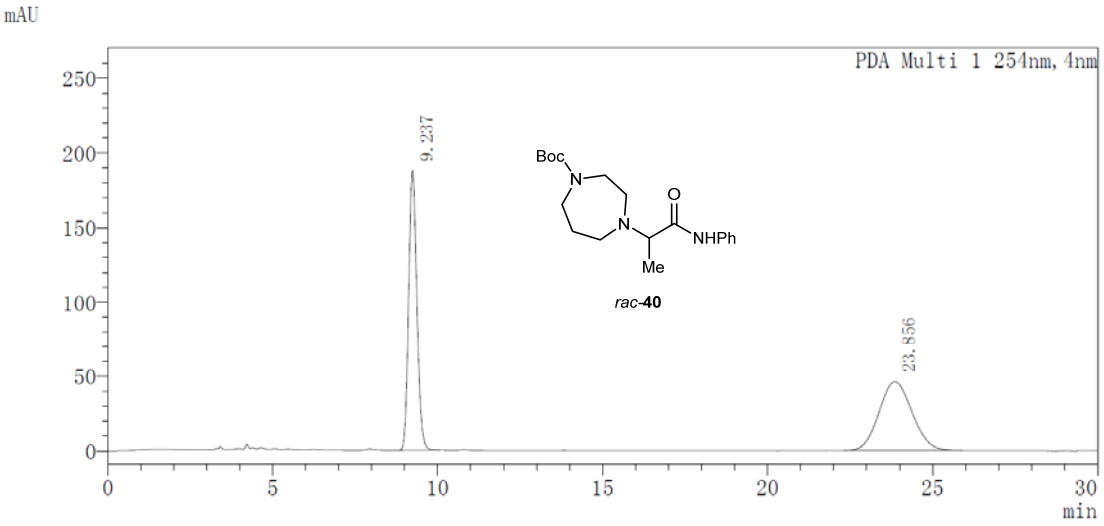
Peak#	Ret. Time	Area	Area%
1	17.270	29467683	49.811
2	22.607	29691744	50.189



Peak Table

检测器A Ch1 254nm

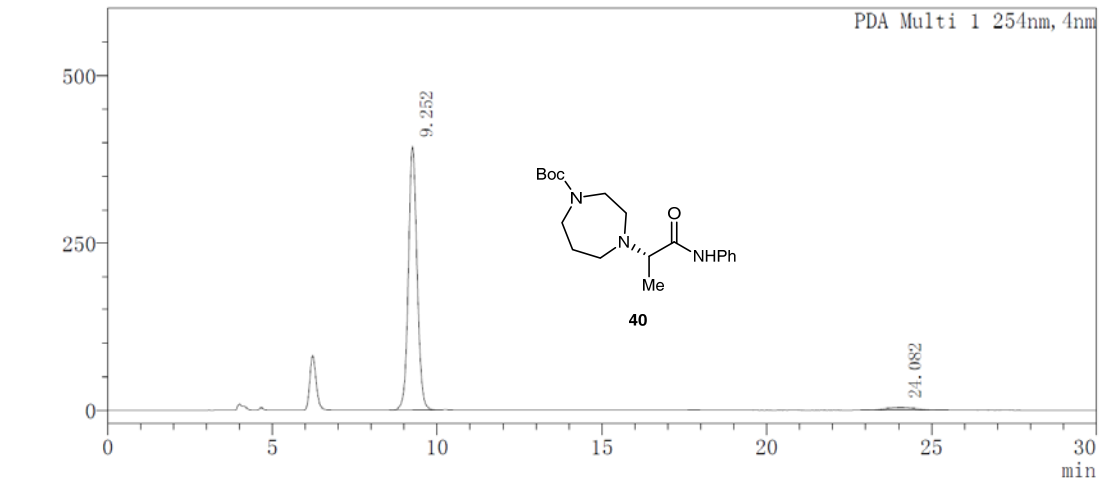
Peak#	Ret. Time	Area	Area%
1	17.296	71741675	95.059
2	22.718	3729090	4.941



Peak Table

PDA Ch1 254nm

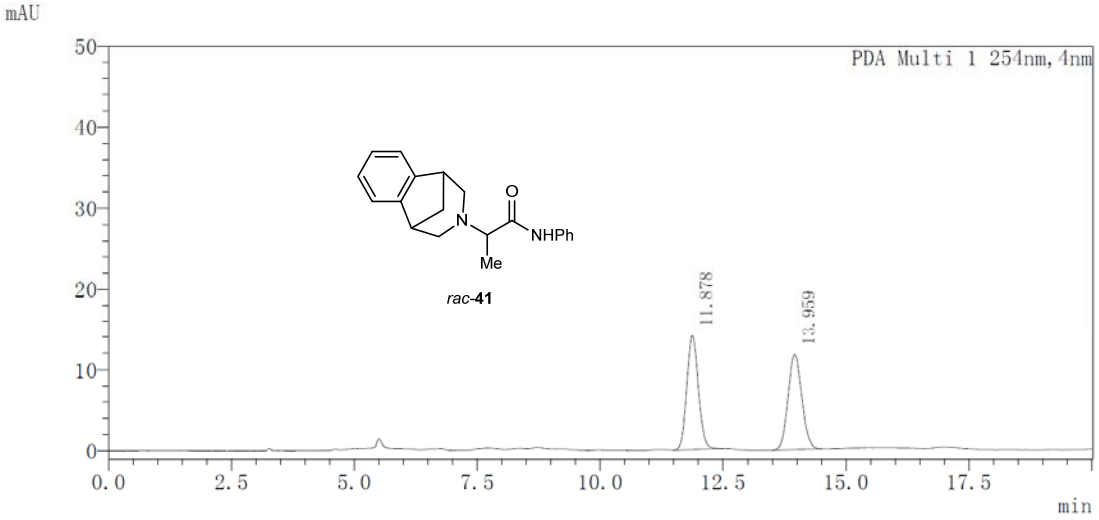
Peak#	Ret. Time	Area	Area%
1	9.237	3257344	50.159
2	23.856	3236743	49.841



Peak Table

PDA Ch1 254nm

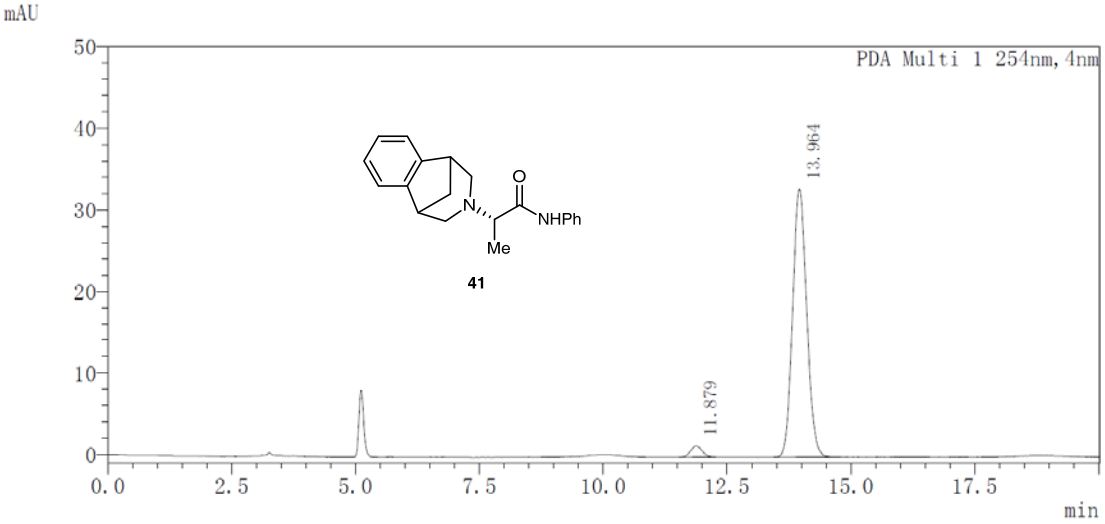
Peak#	Ret. Time	Area	Area%
1	9.252	7249612	96.628
2	24.082	252979	3.372



Peak Table

PDA Ch1 254nm

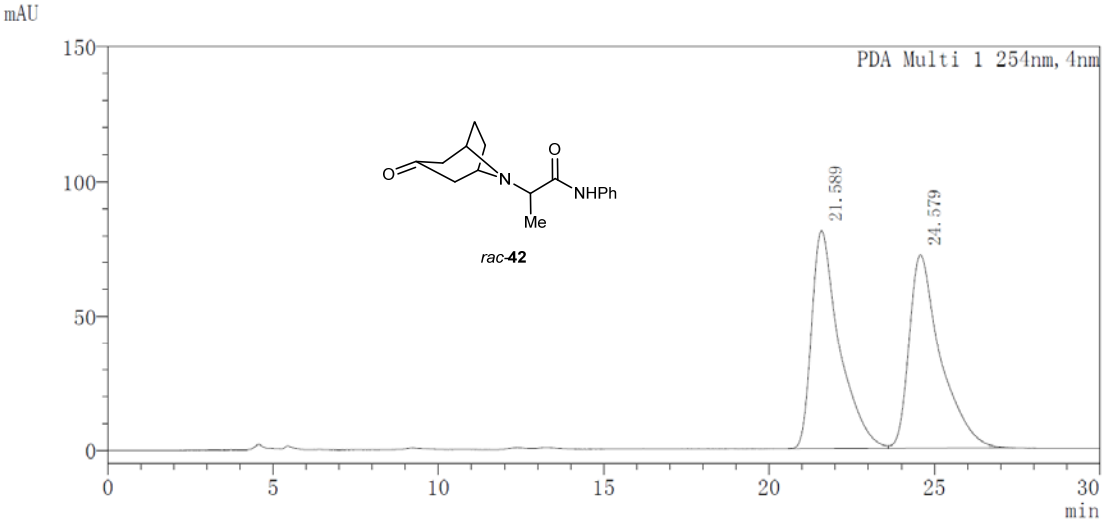
Peak#	Ret. Time	Area	Area%
1	11.878	229662	50.082
2	13.959	228909	49.918



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.879	22347	3.333
2	13.964	648200	96.667



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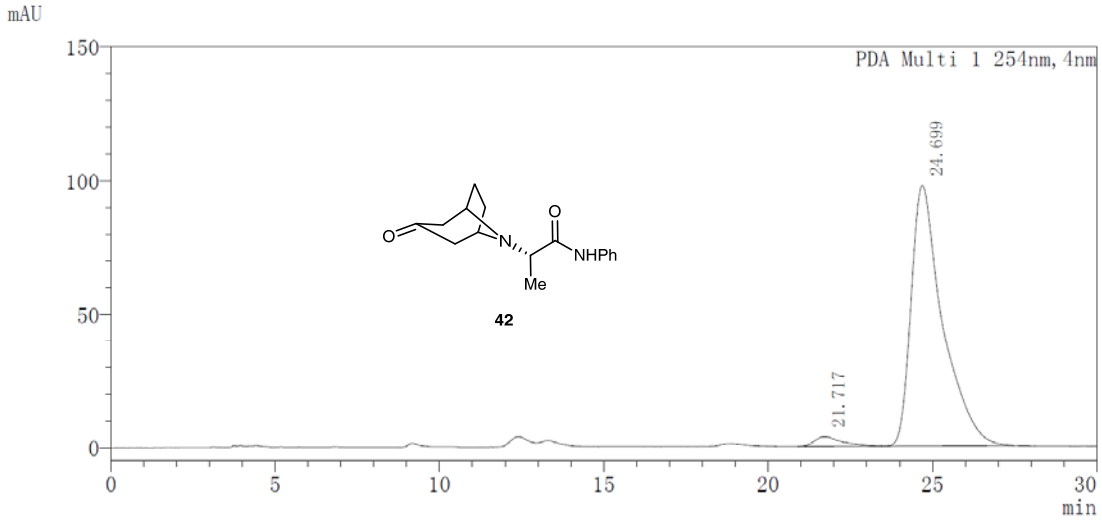
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	21.589	4744653	49.896
2	24.579	4764488	50.104



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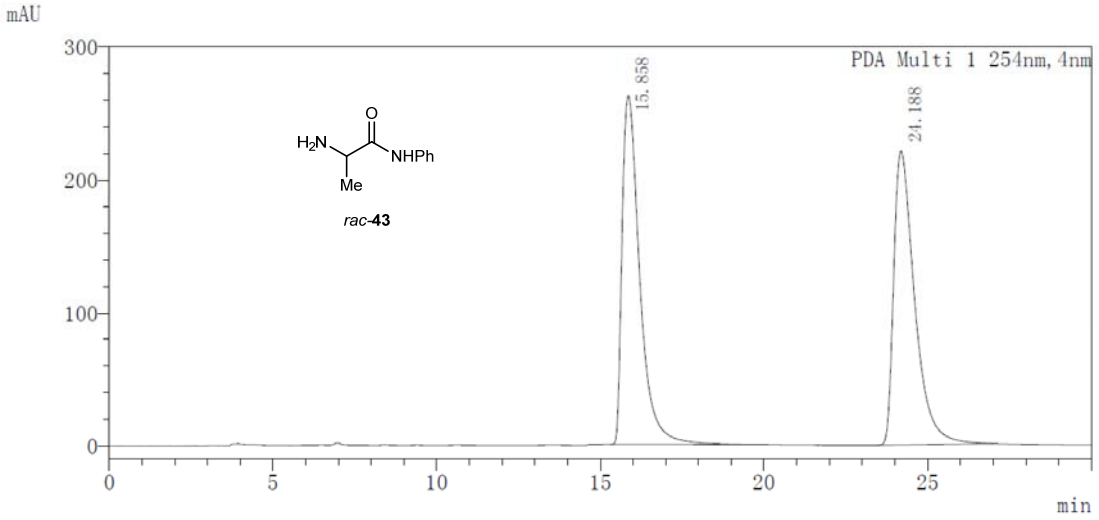
Peak Table

PDA Ch1 254nm

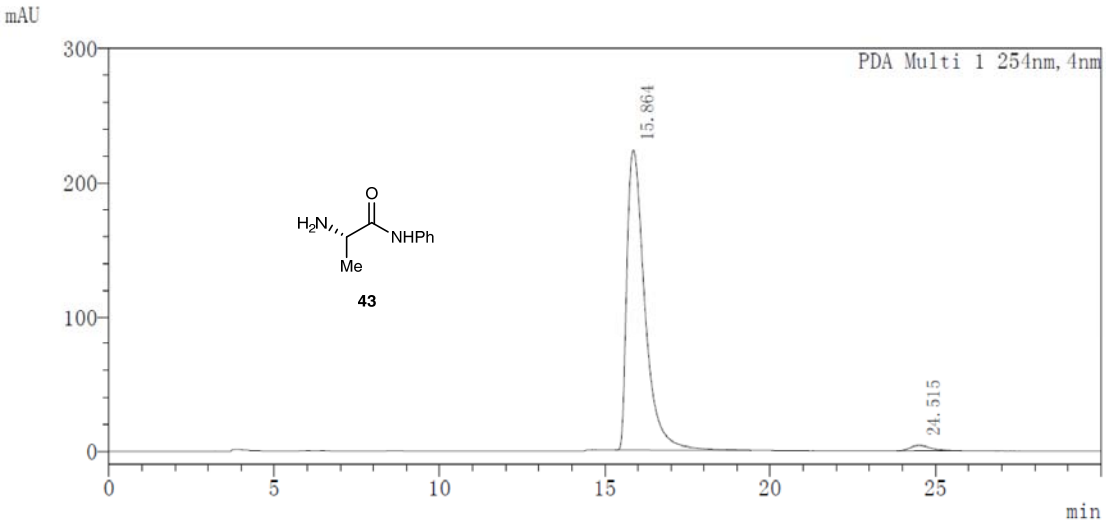
Peak#	Ret. Time	Area	Area%
1	21.717	210607	3.139
2	24.699	6498877	96.861



Peak Table

PDA Ch1 254nm

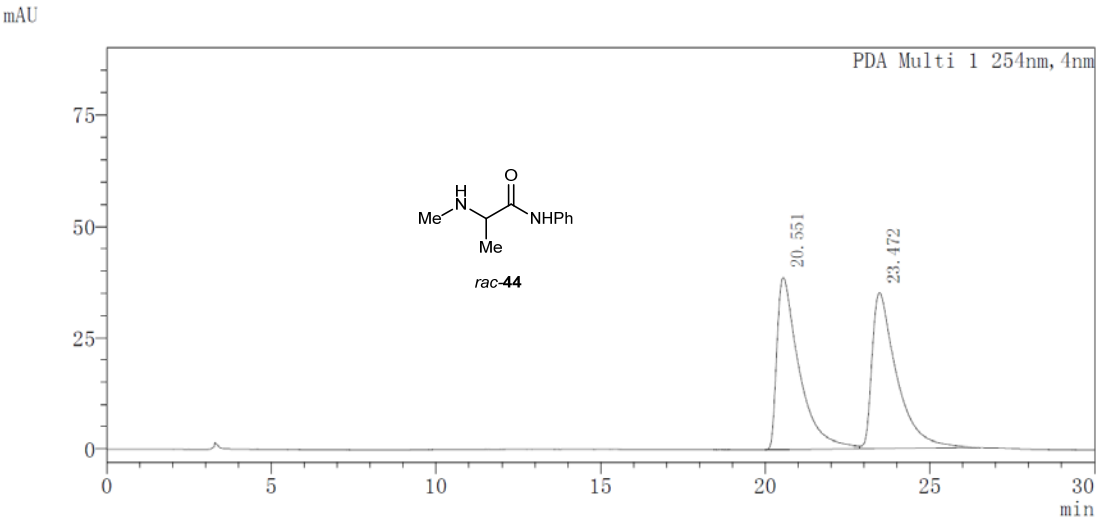
Peak#	Ret. Time	Area	Area%
1	15.858	9847244	50.009
2	24.188	9843857	49.991



Peak Table

PDA Ch1 254nm

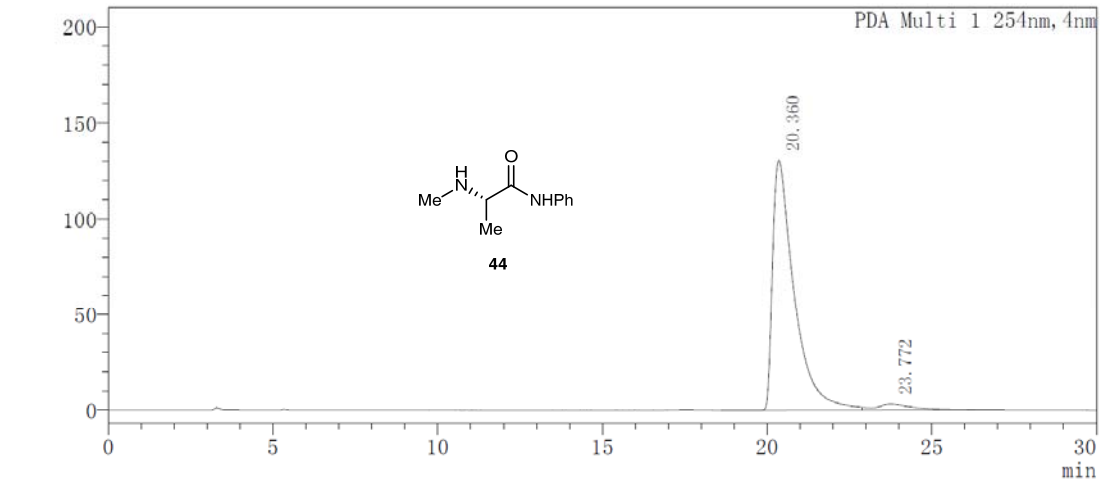
Peak#	Ret. Time	Area	Area%
1	15.864	8471501	97.887
2	24.515	182831	2.113



Peak Table

PDA Ch1 254nm

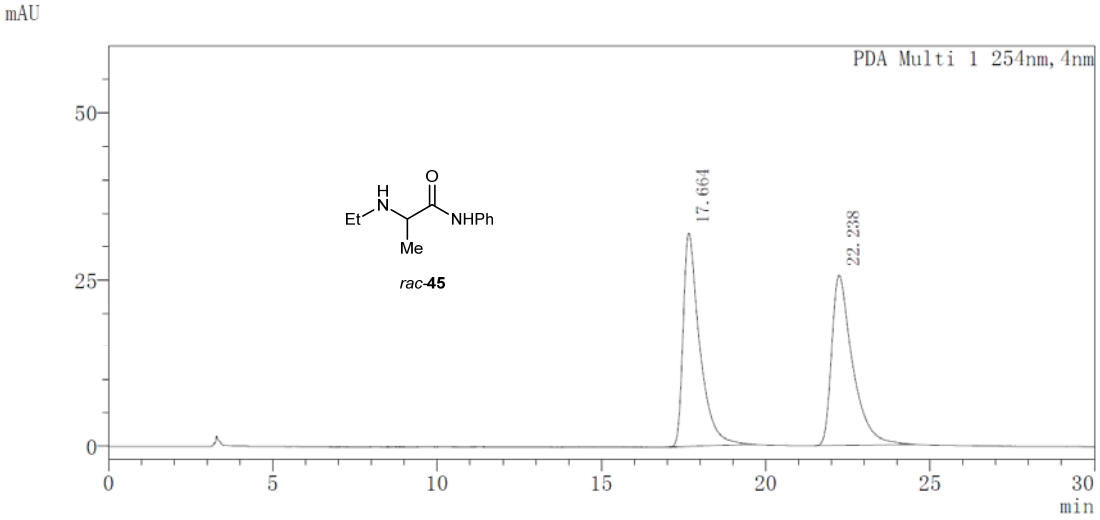
Peak#	Ret. Time	Area	Area%
1	20.551	1824759	49.953
2	23.472	1828193	50.047



Peak Table

PDA Ch1 254nm

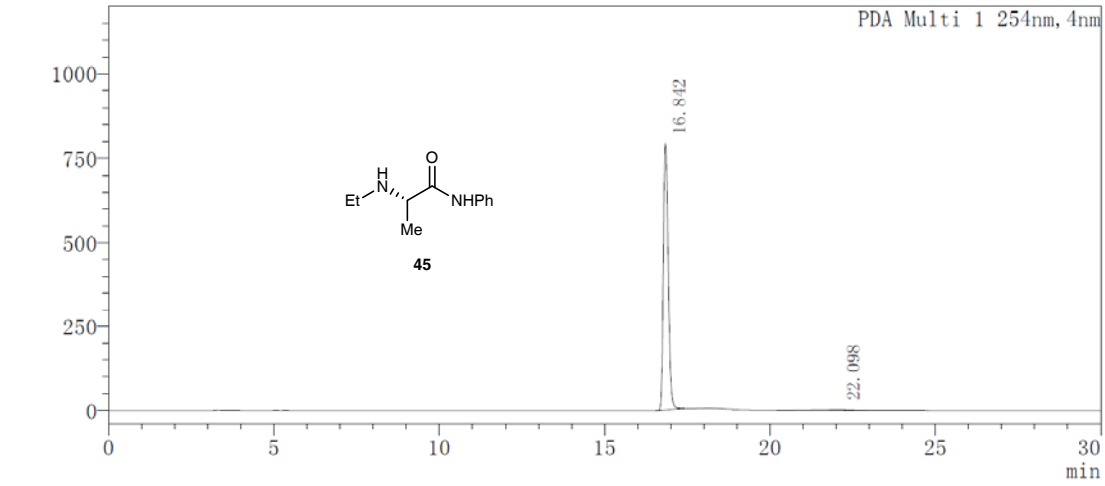
Peak#	Ret. Time	Area	Area%
1	20.360	5989945	95.897
2	23.772	256282	4.103



Peak Table

PDA Ch1 254nm

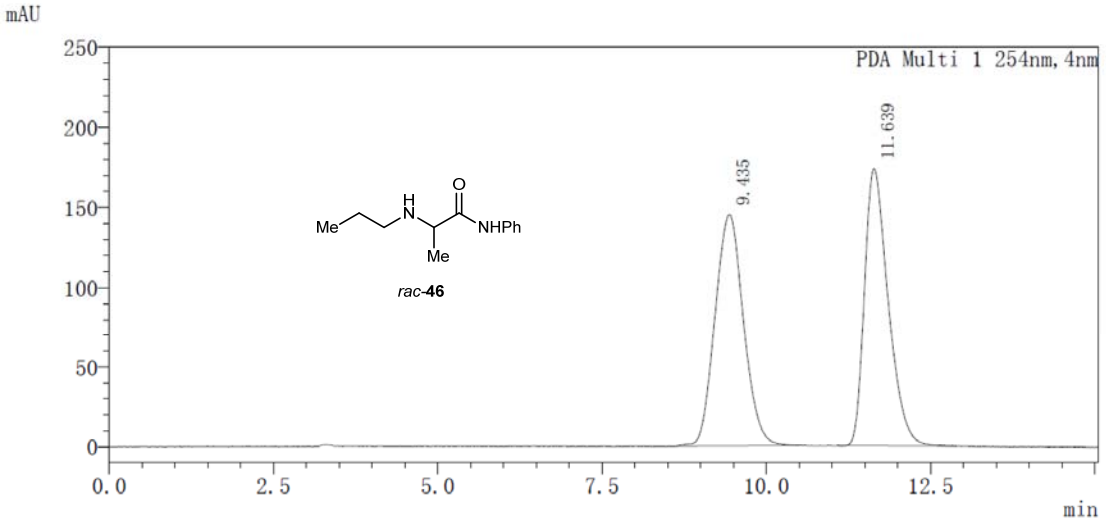
Peak#	Ret. Time	Area	Area%
1	17.664	1123147	49.766
2	22.238	1133701	50.234



Peak Table

PDA Ch1 254nm

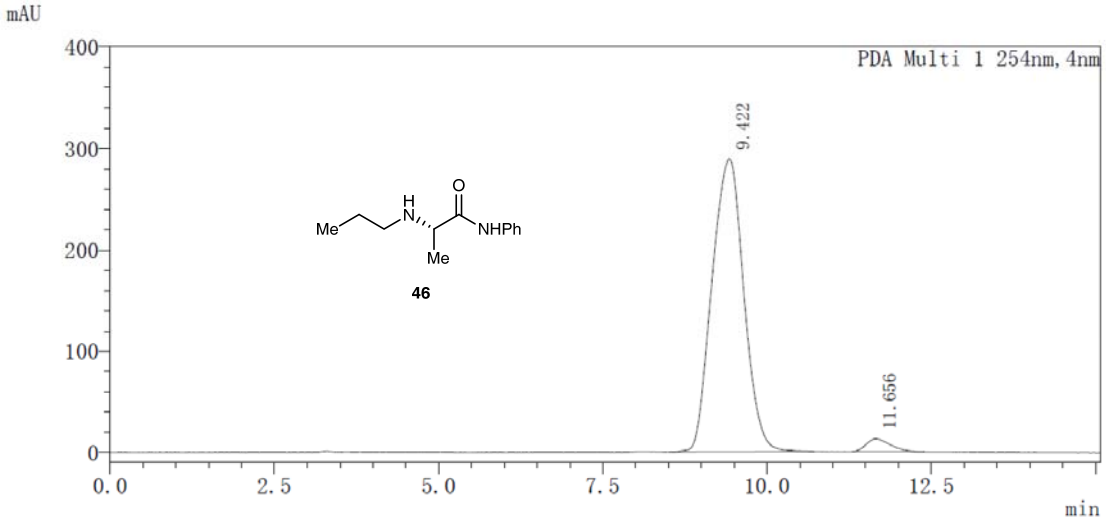
Peak#	Ret. Time	Area	Area%
1	16.842	8107519	97.917
2	22.098	172481	2.083



Peak Table

PDA Ch1 254nm

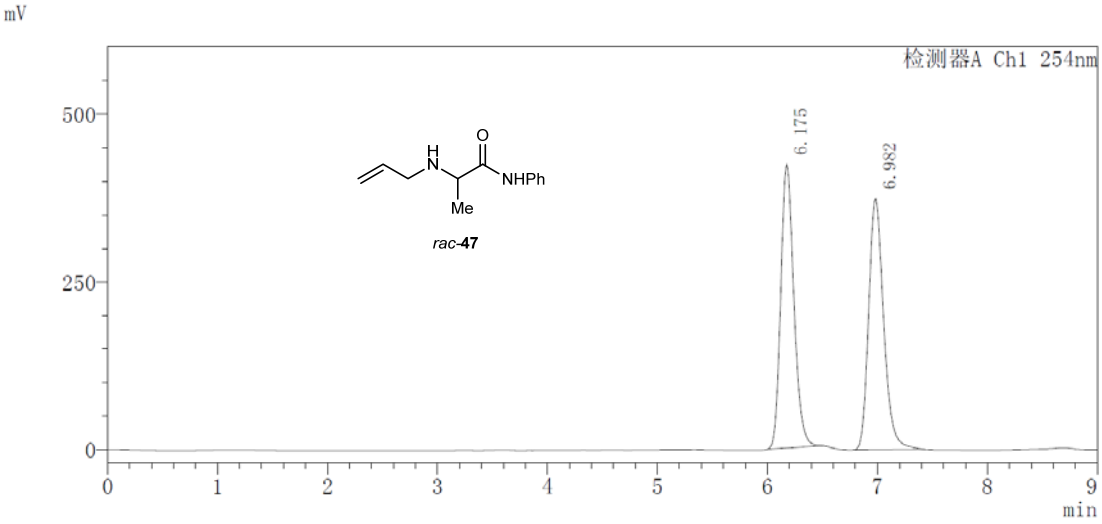
Peak#	Ret. Time	Area	Area%
1	9.435	4380006	50.096
2	11.639	4363186	49.904



Peak Table

PDA Ch1 254nm

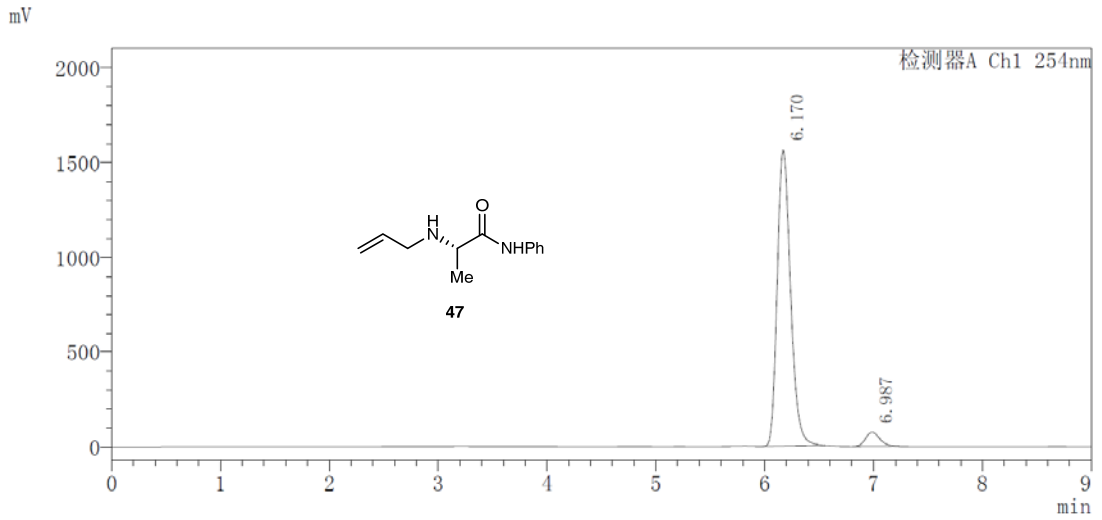
Peak#	Ret. Time	Area	Area%
1	9.422	9751382	96.840
2	11.656	318207	3.160



Peak Table

检测器A Ch1 254nm

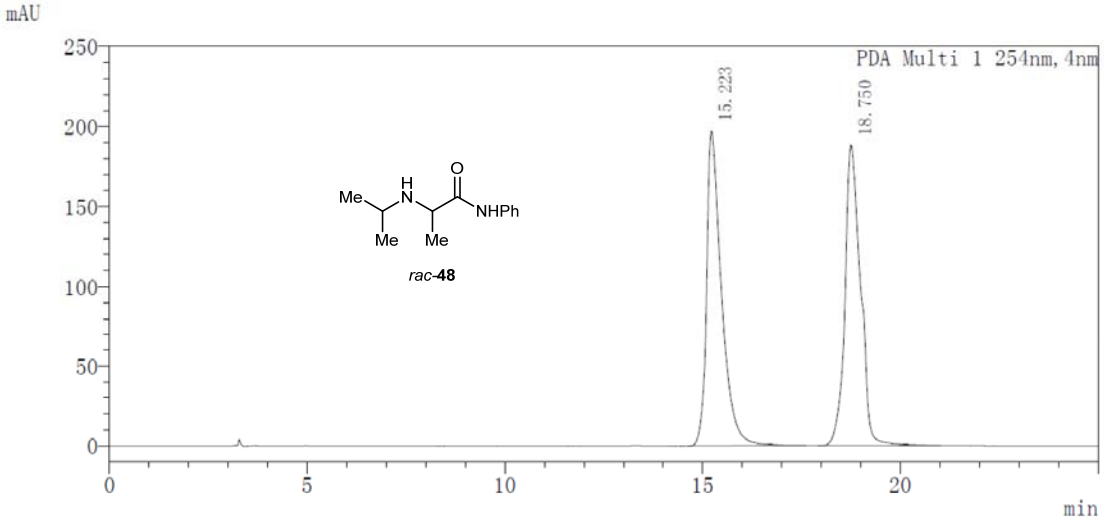
Peak#	Ret. Time	Area	Area%
1	6.175	3514131	49.664
2	6.982	3561671	50.336



Peak Table

检测器A Ch1 254nm

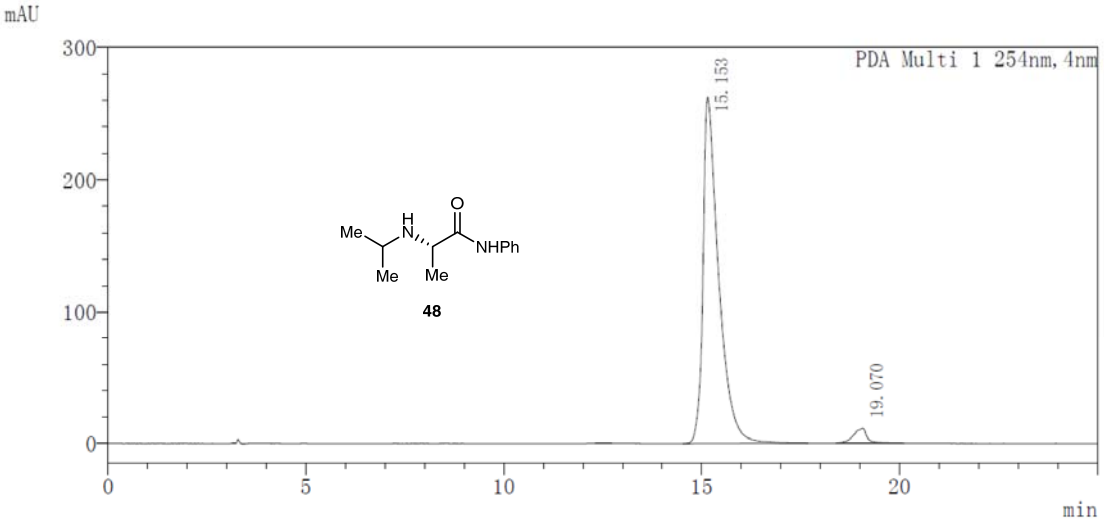
Peak#	Ret. Time	Area	Area%
1	6.170	13333121	95.047
2	6.987	694756	4.953



Peak Table

PDA Ch1 254nm

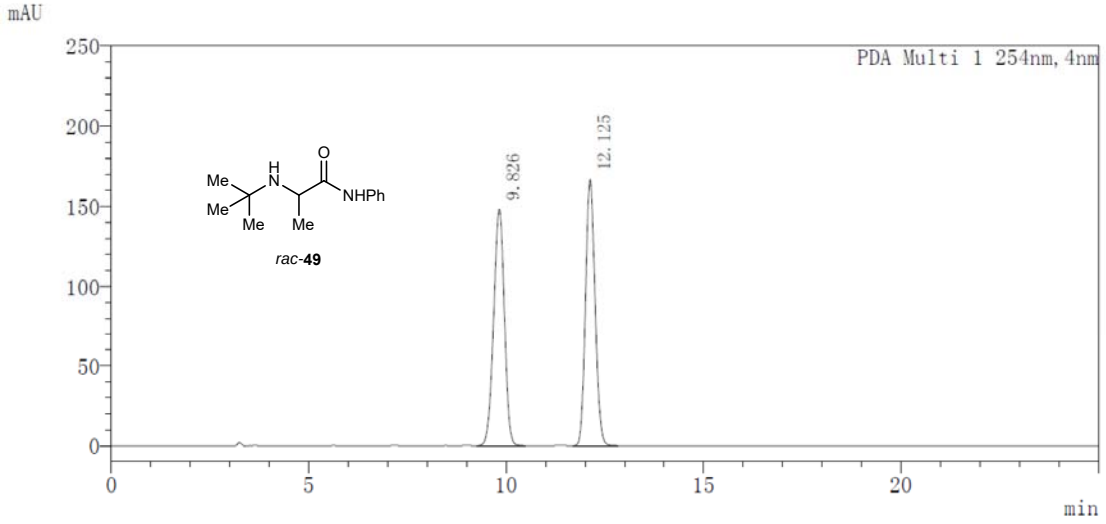
Peak#	Ret. Time	Area	Area%
1	15.223	5164550	49.981
2	18.750	5168442	50.019



Peak Table

PDA Ch1 254nm

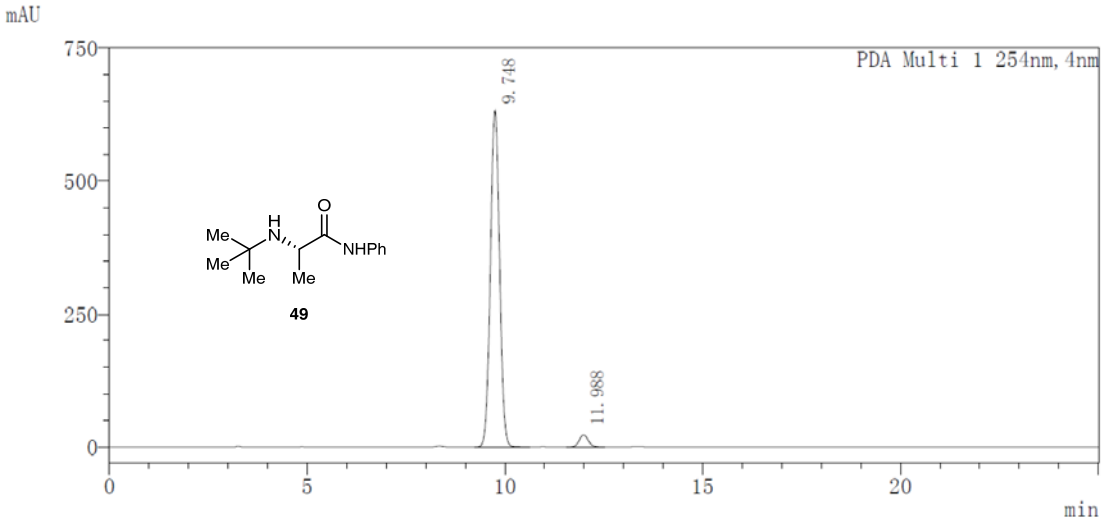
Peak#	Ret. Time	Area	Area%
1	15.153	7228395	96.585
2	19.070	255580	3.415



Peak Table

PDA Ch1 254nm

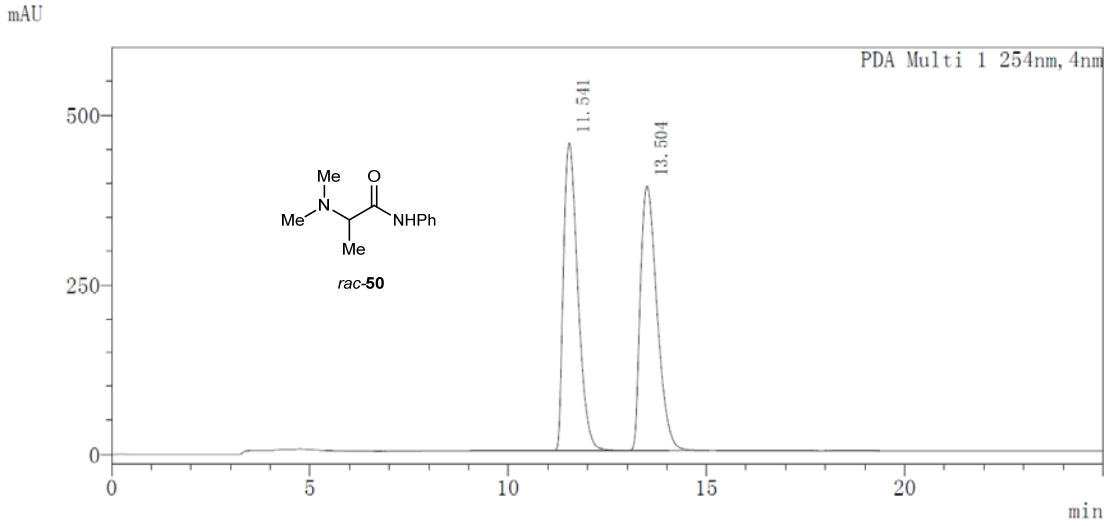
Peak#	Ret. Time	Area	Area%
1	9.826	2807026	50.068
2	12.125	2799360	49.932



Peak Table

PDA Ch1 254nm

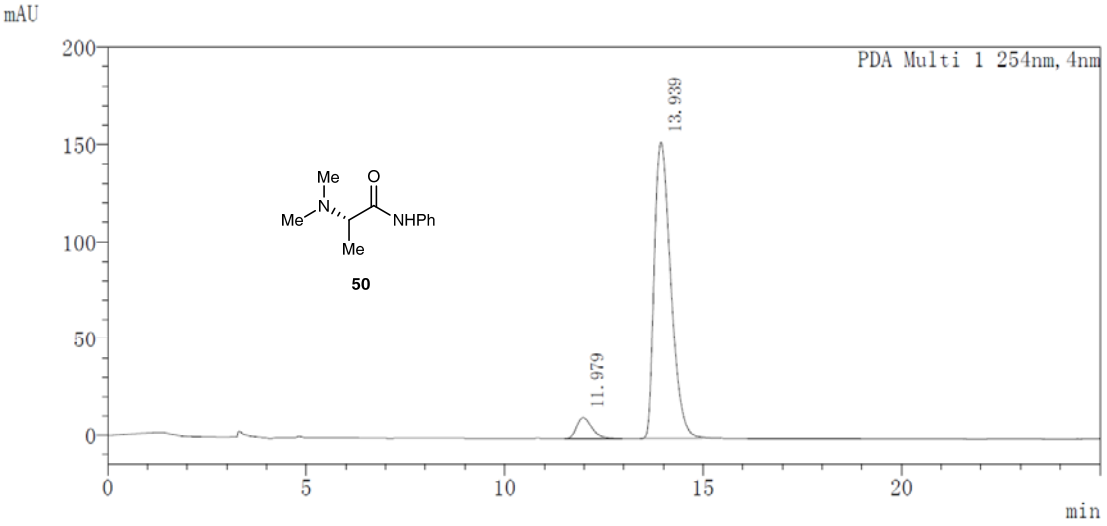
Peak#	Ret. Time	Area	Area%
1	9.748	10220232	96.573
2	11.988	362667	3.427



Peak Table

PDA Ch1 254nm

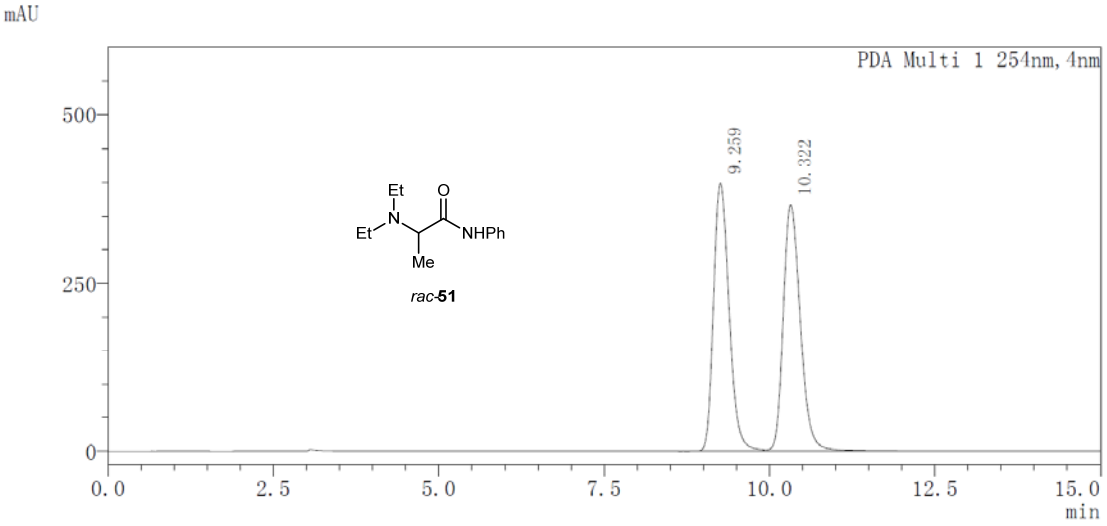
Peak#	Ret. Time	Area	Area%
1	11.541	11404279	50.008
2	13.504	11400586	49.992



Peak Table

PDA Ch1 254nm

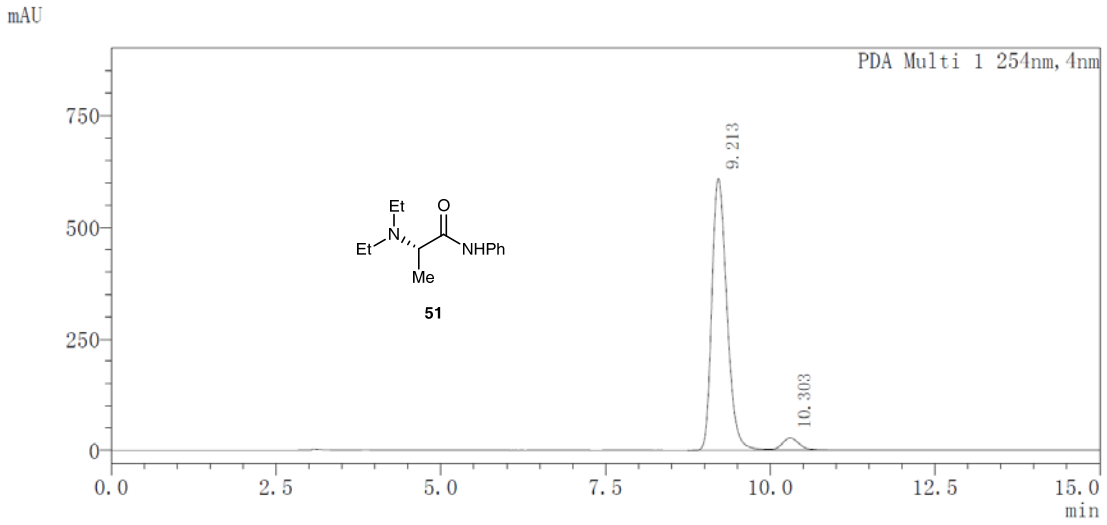
Peak#	Ret. Time	Area	Area%
1	11.979	273777	5.834
2	13.939	4419327	94.166



Peak Table

PDA Ch1 254nm

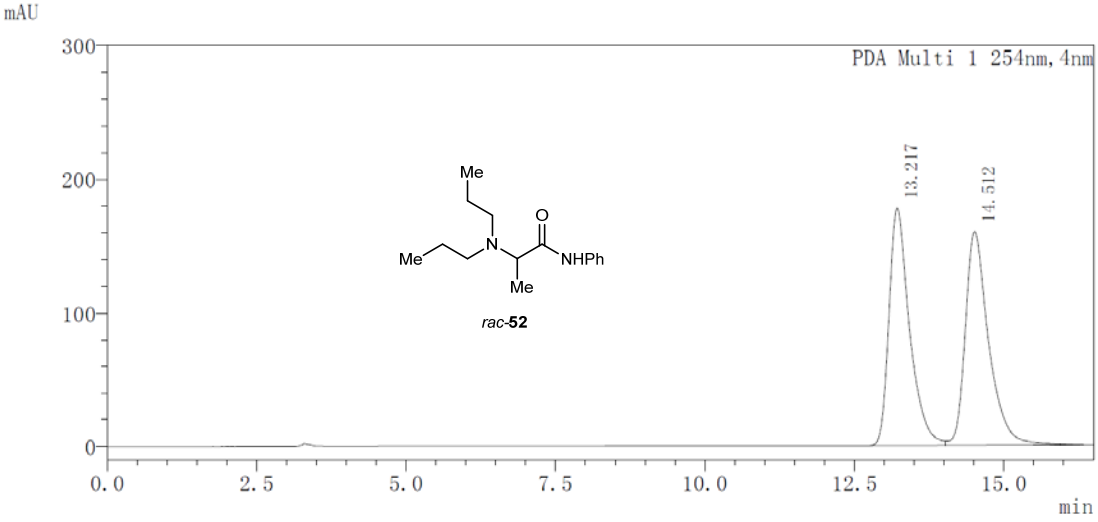
Peak#	Ret. Time	Area	Area%
1	9.259	6530867	49.615
2	10.322	6632263	50.385



Peak Table

PDA Ch1 254nm

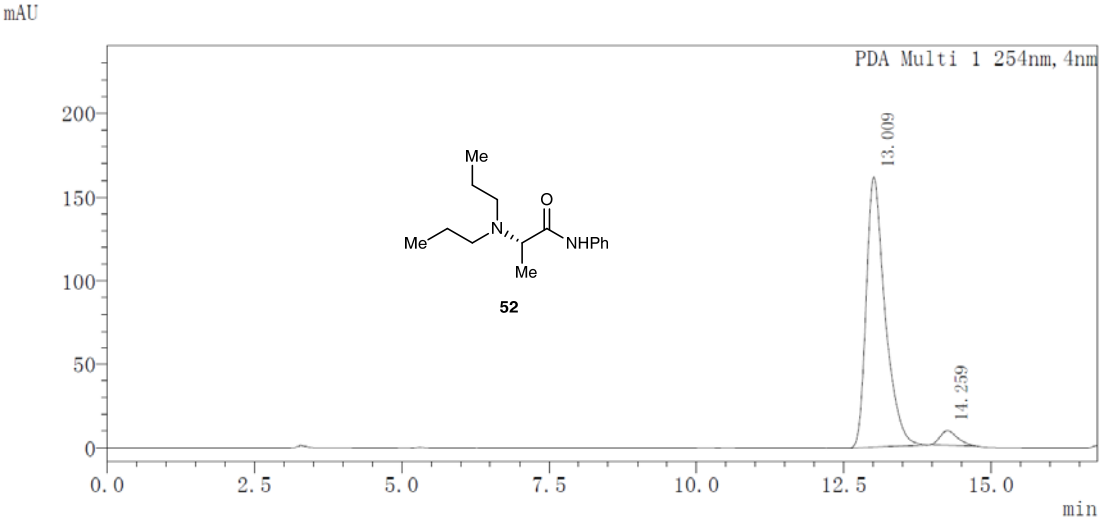
Peak#	Ret. Time	Area	Area%
1	9.213	9664528	95.048
2	10.303	503531	4.952



Peak Table

PDA Ch1 254nm

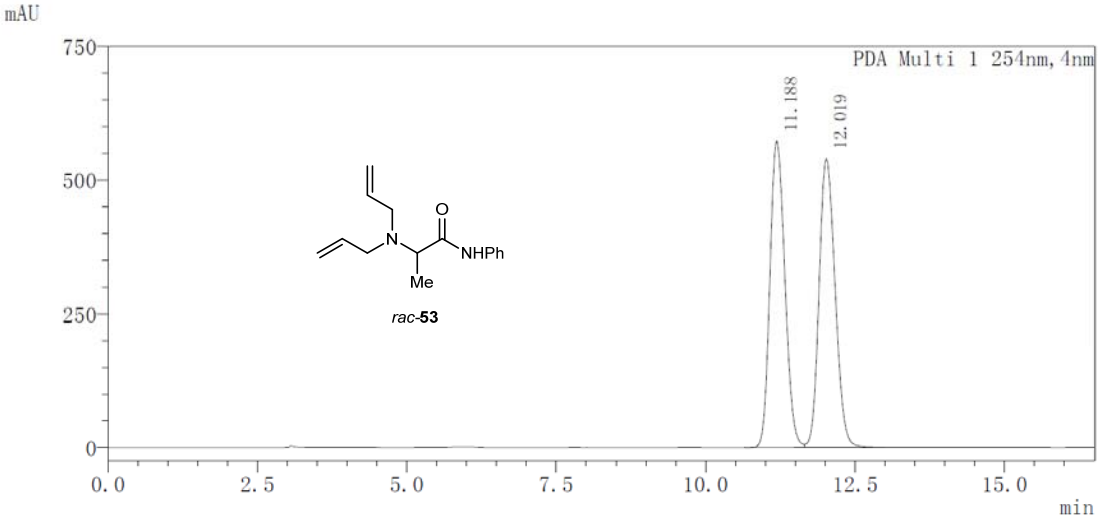
Peak#	Ret. Time	Area	Area%
1	13.217	4234402	49.321
2	14.512	4350928	50.679



Peak Table

PDA Ch1 254nm

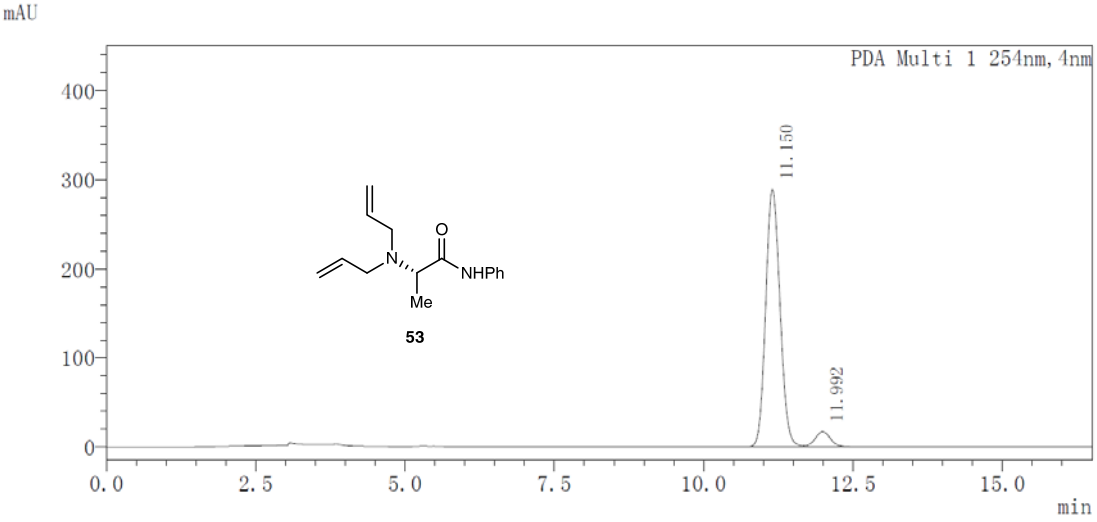
Peak#	Ret. Time	Area	Area%
1	13.009	3597071	95.357
2	14.259	175132	4.643



Peak Table

PDA Ch1 254nm

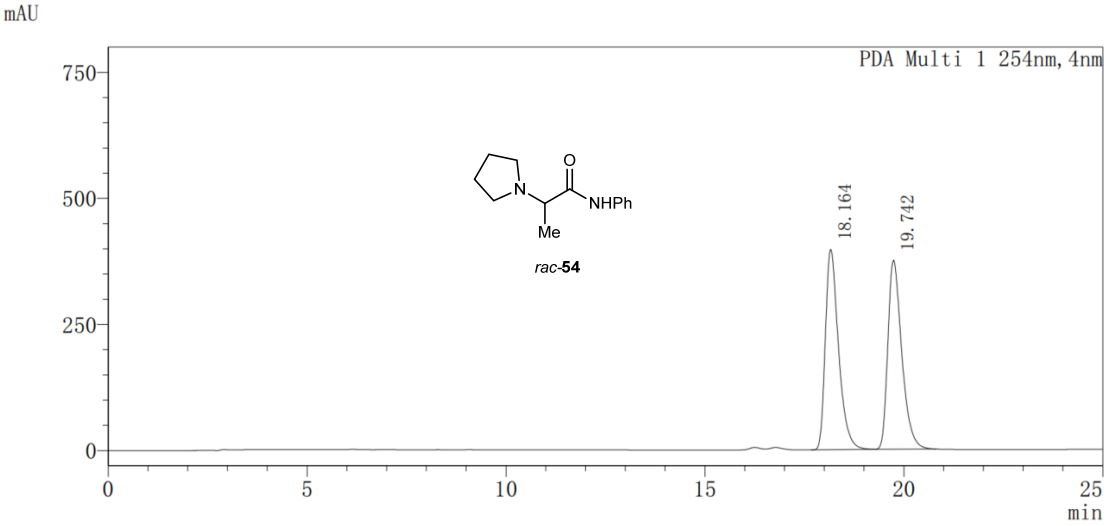
Peak#	Ret. Time	Area	Area%
1	11.188	10013536	49.804
2	12.019	10092467	50.196



Peak Table

PDA Ch1 254nm

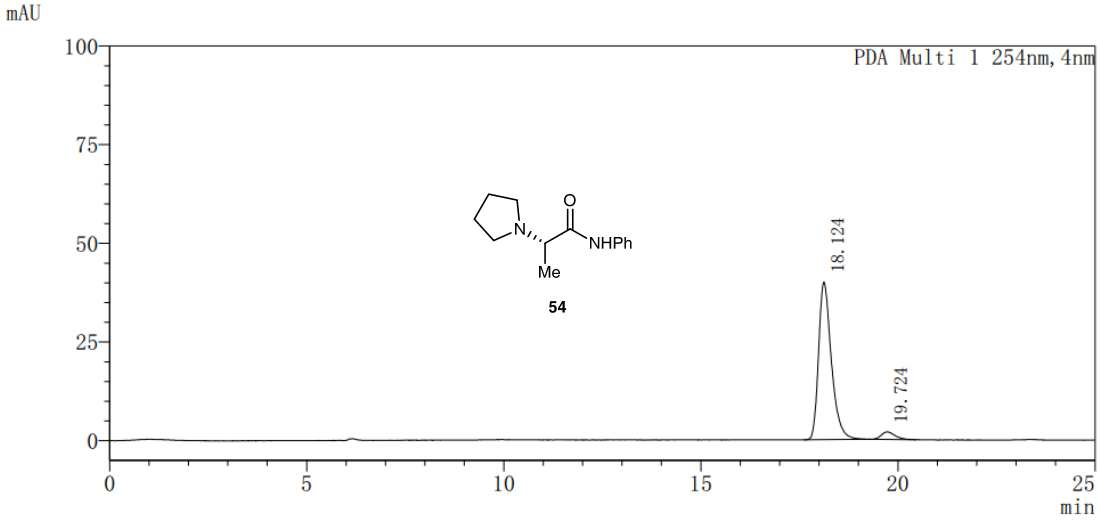
Peak#	Ret. Time	Area	Area%
1	11.150	4815340	94.184
2	11.992	297345	5.816



Peak Table

PDA Ch1 254nm

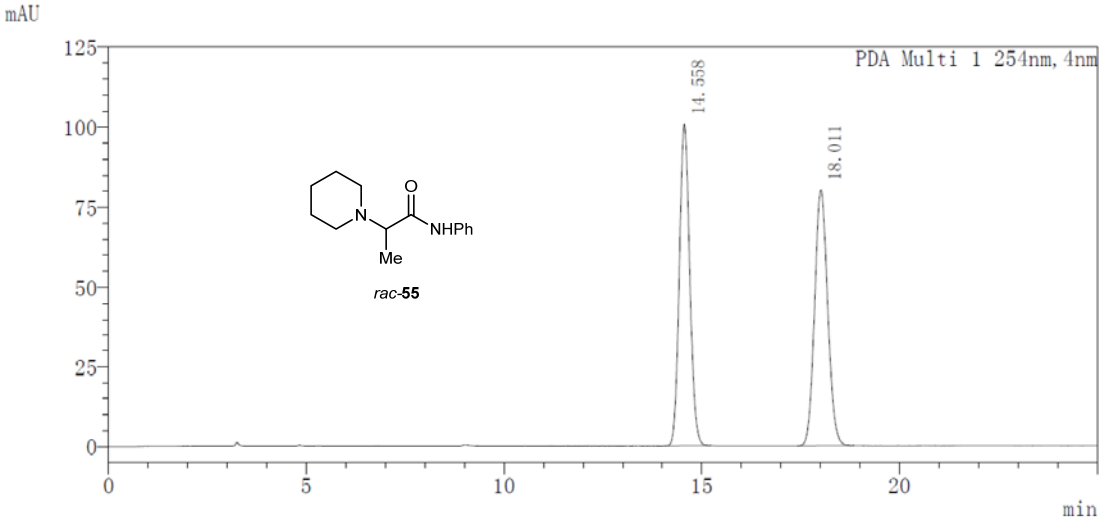
Peak#	Ret. Time	Area	Area%
1	18.164	9113688	50.032
2	19.742	9101996	49.968



Peak Table

PDA Ch1 254nm

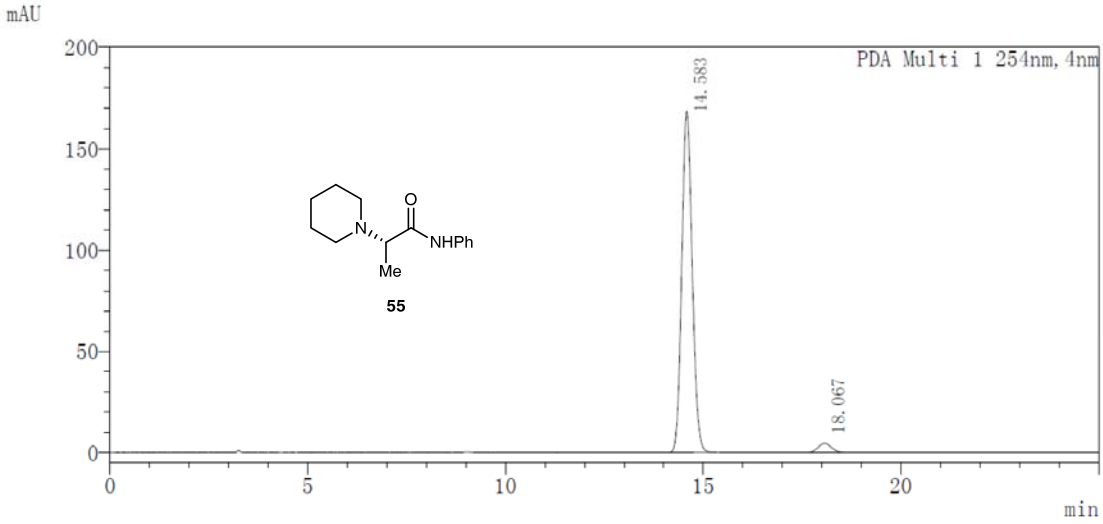
Peak#	Ret. Time	Area	Area%
1	18.124	901013	95.107
2	19.724	46354	4.893



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.558	1839594	49.957
2	18.011	1842767	50.043

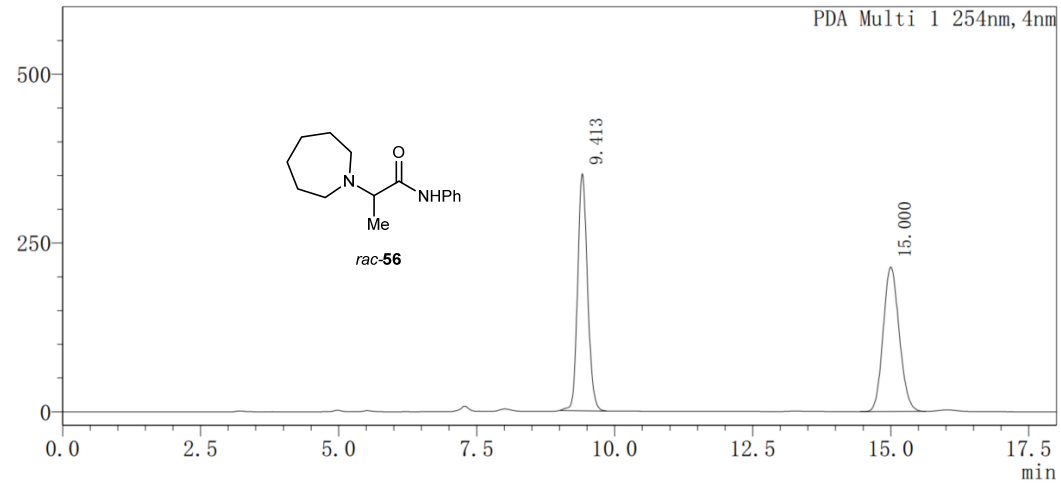


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.583	3093261	96.714
2	18.067	105089	3.286

mAU



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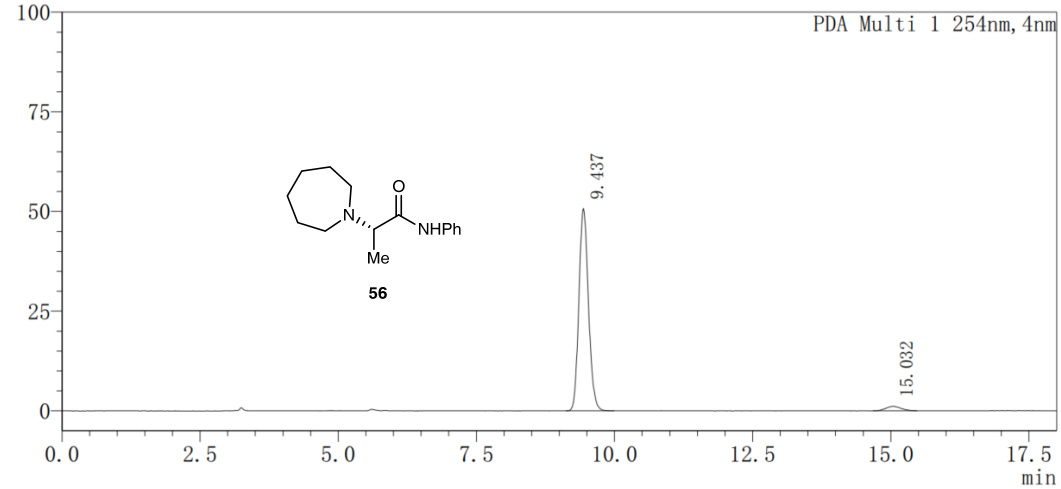
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.413	4365835	50.106
2	15.000	4347393	49.894

mAU

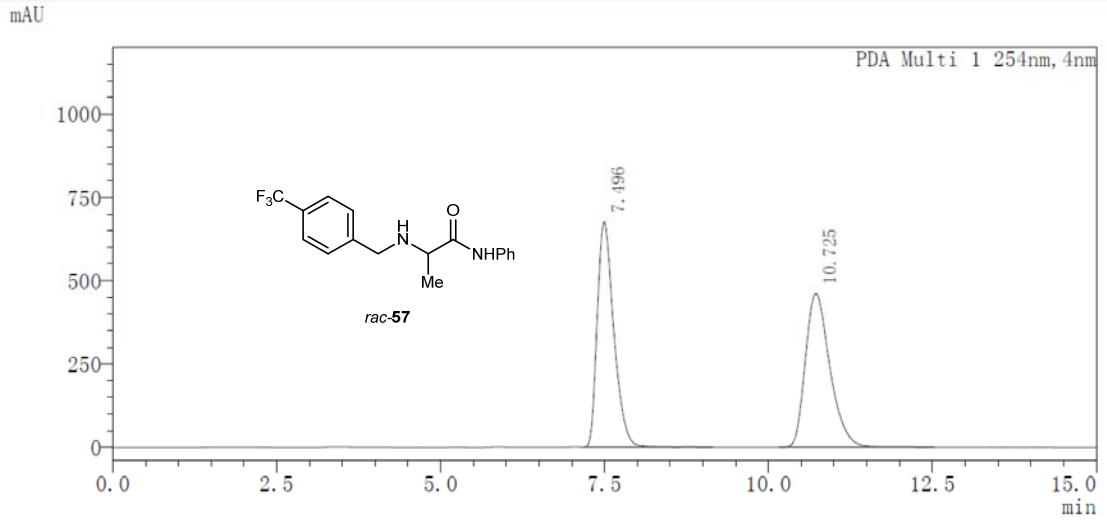


56

Peak Table

PDA Ch1 254nm

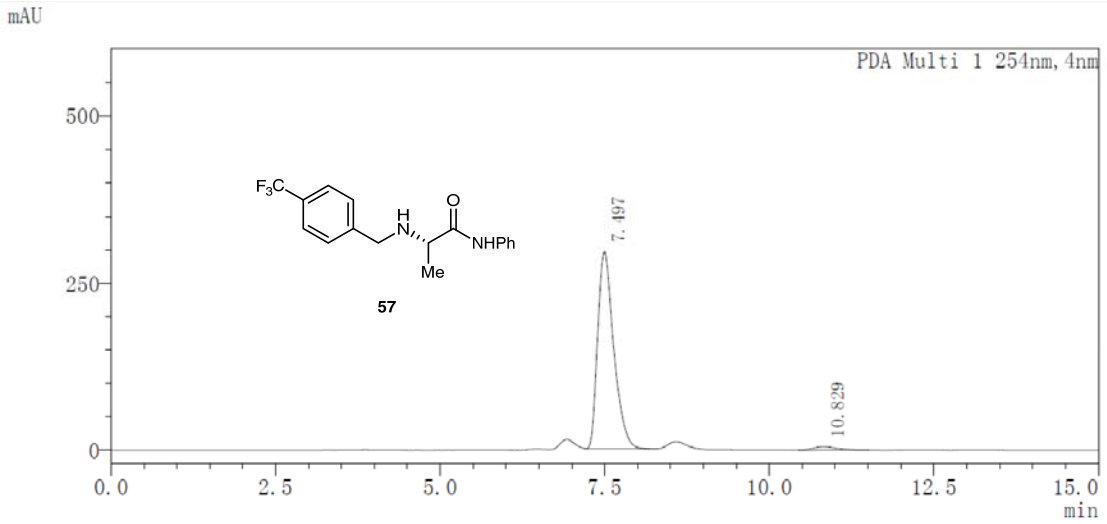
Peak#	Ret. Time	Area	Area%
1	9.437	610311	96.584
2	15.032	21589	3.416



Peak Table

PDA Ch1 254nm

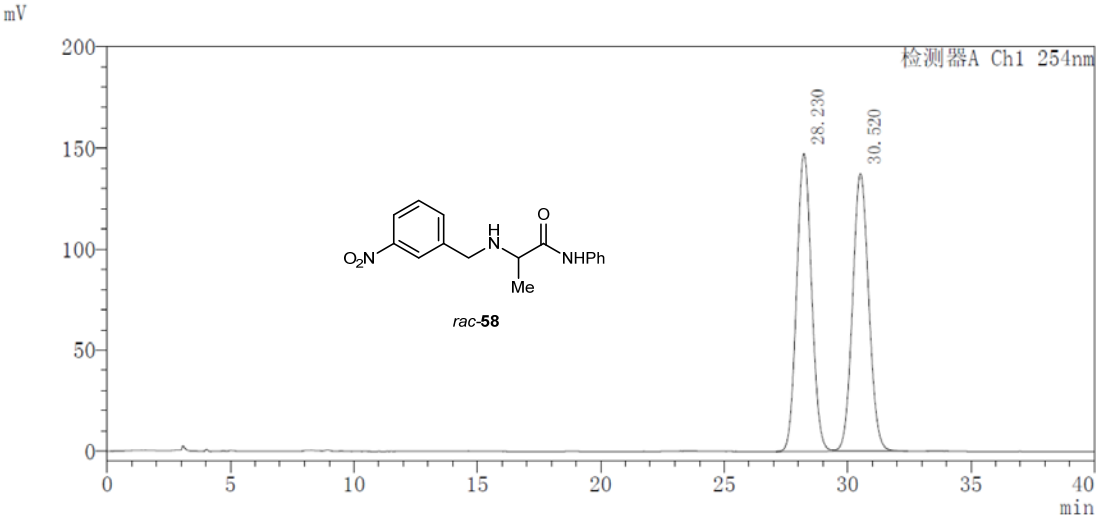
Peak#	Ret. Time	Area	Area%
1	7.496	11927691	49.763
2	10.725	12041154	50.237



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.497	5025867	97.512
2	10.829	128236	2.488



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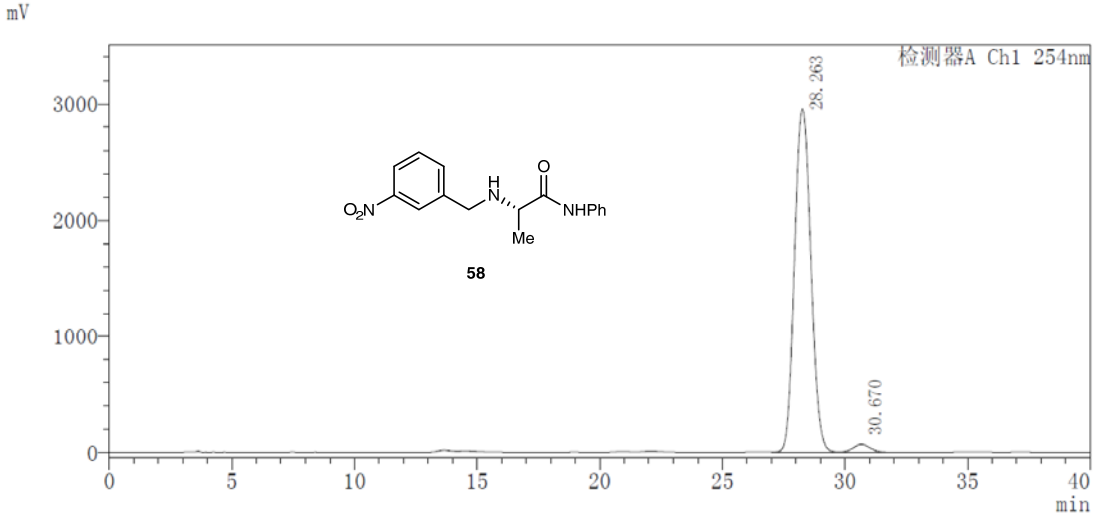
Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	28.230	6194929	49.657
2	30.520	6280517	50.343



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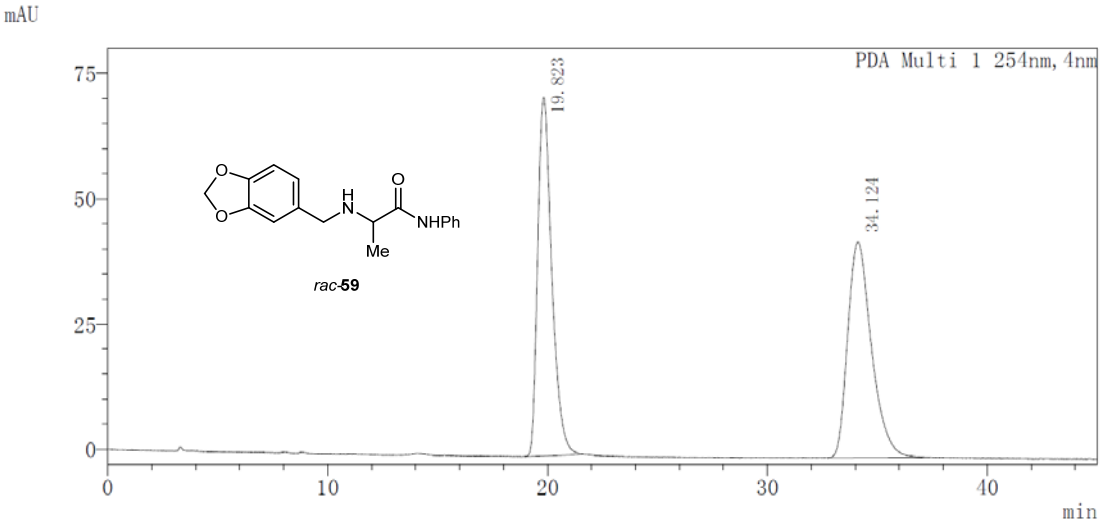
Peak Table

检测器A Ch1 254nm

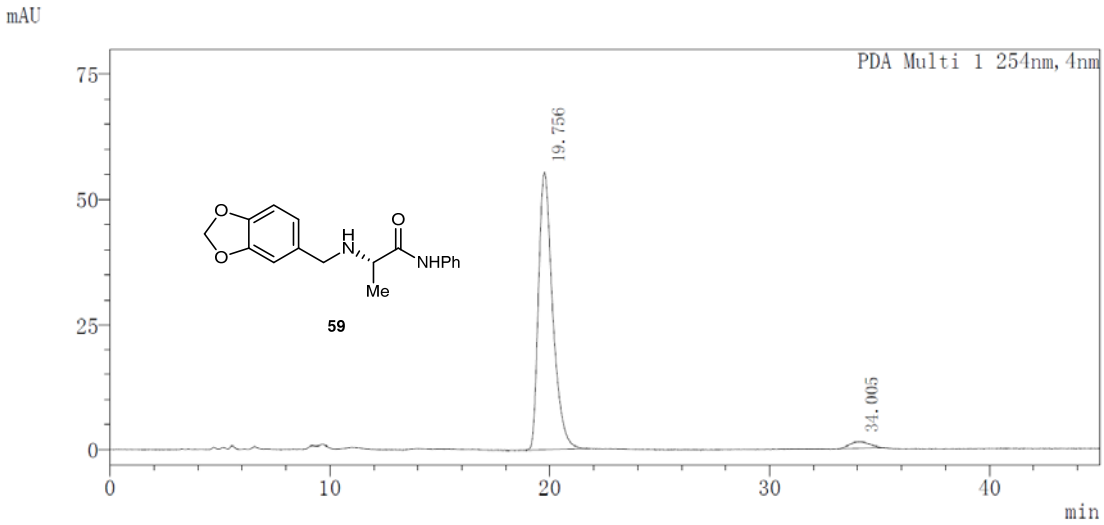
Peak#	Ret. Time	Area	Area%
1	28.263	137312965	97.703
2	30.670	3228616	2.297



Peak Table

PDA Ch1 254nm

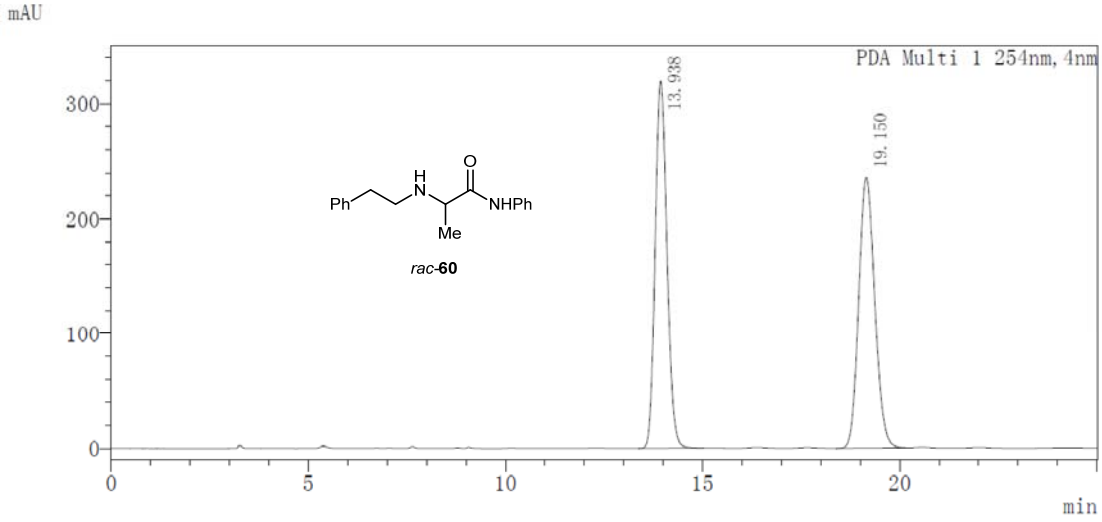
Peak#	Ret. Time	Area	Area%
1	19.823	3220713	49.650
2	34.124	3266069	50.350



Peak Table

PDA Ch1 254nm

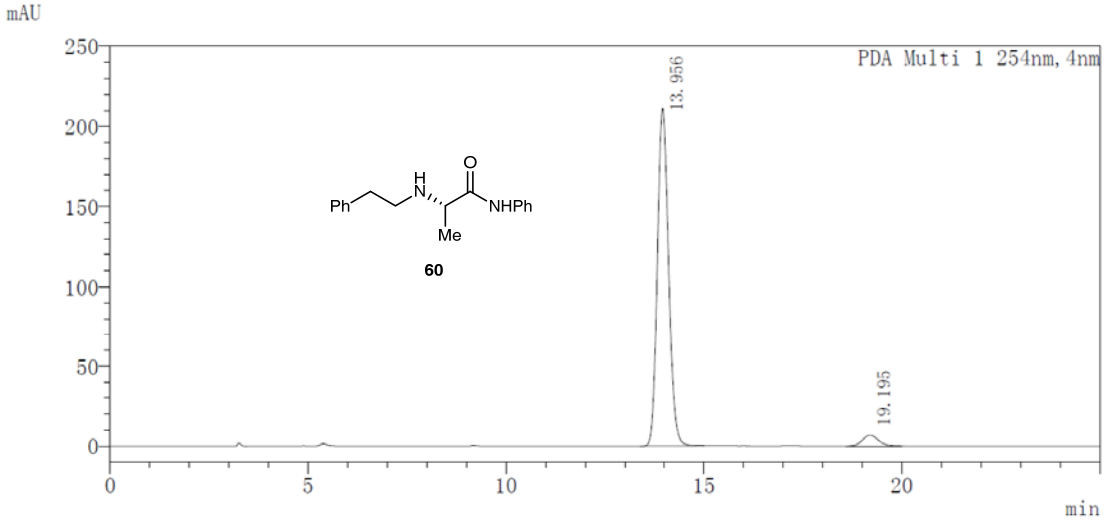
Peak#	Ret. Time	Area	Area%
1	19.756	2503643	96.702
2	34.005	85389	3.298



Peak Table

PDA Ch1 254nm

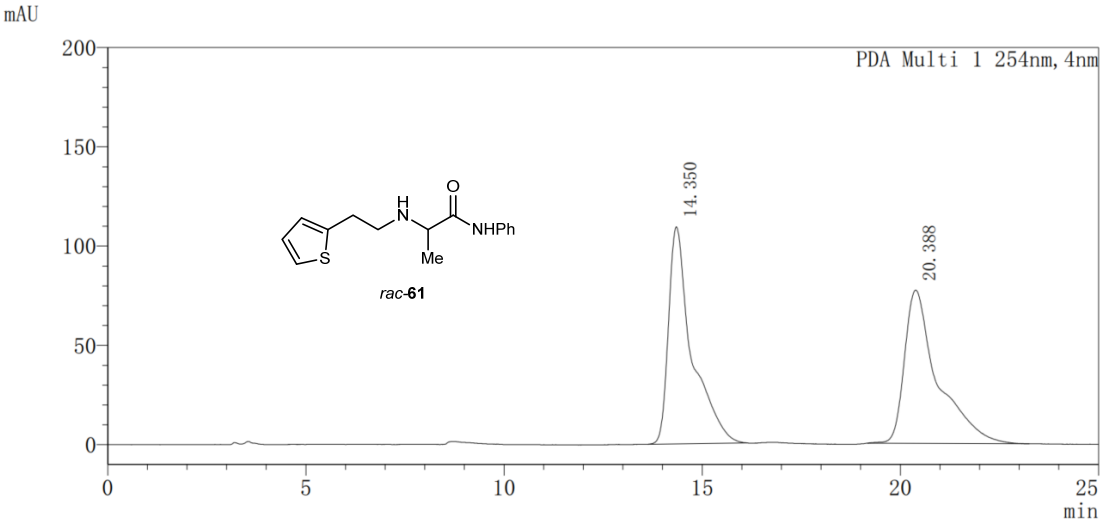
Peak#	Ret. Time	Area	Area%
1	13.938	6656227	49.954
2	19.150	6668507	50.046



Peak Table

PDA Ch1 254nm

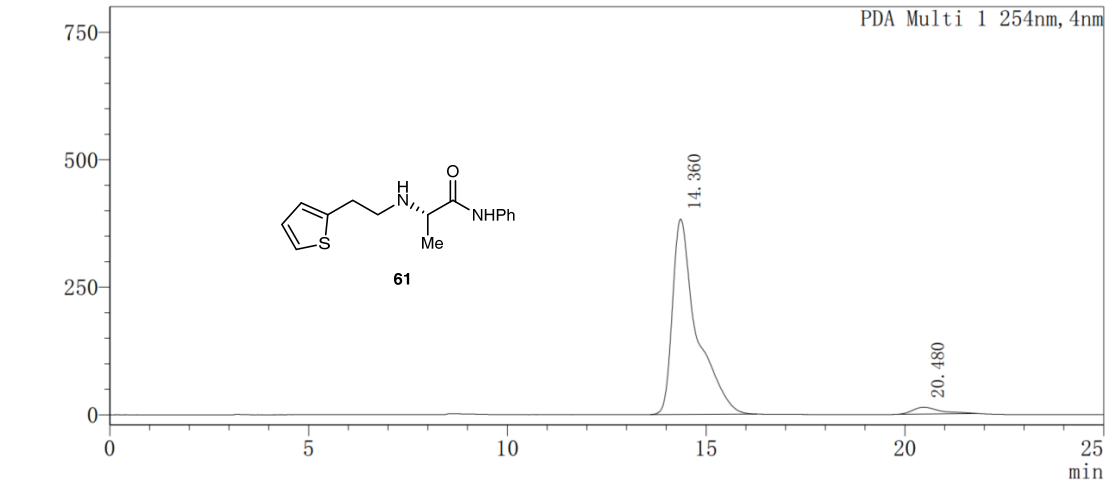
Peak#	Ret. Time	Area	Area%
1	13.956	4154074	95.615
2	19.195	190496	4.385



Peak Table

PDA Ch1 254nm

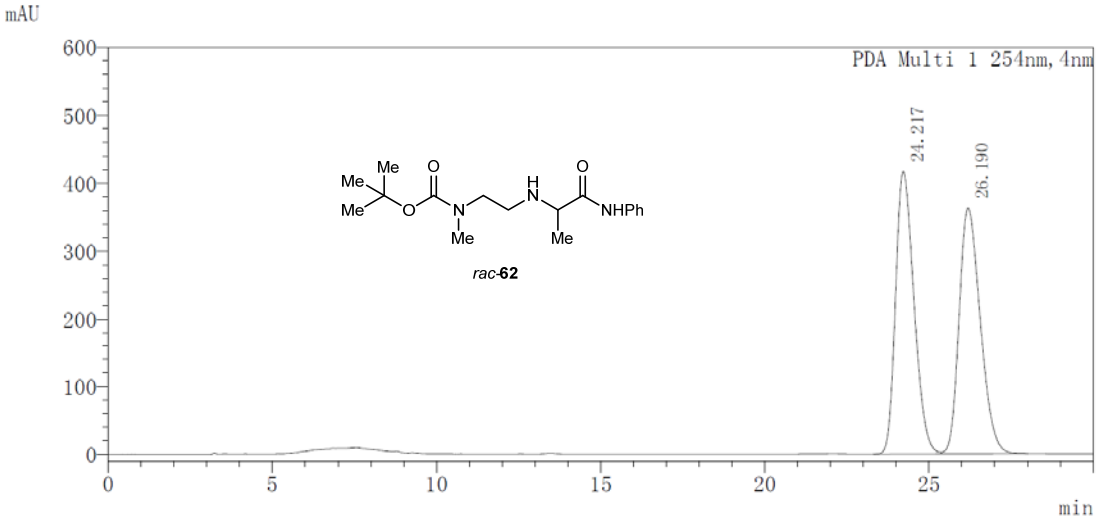
Peak#	Ret. Time	Area	Area%
1	14.350	4480619	49.935
2	20.388	4492317	50.065



Peak Table

PDA Ch1 254nm

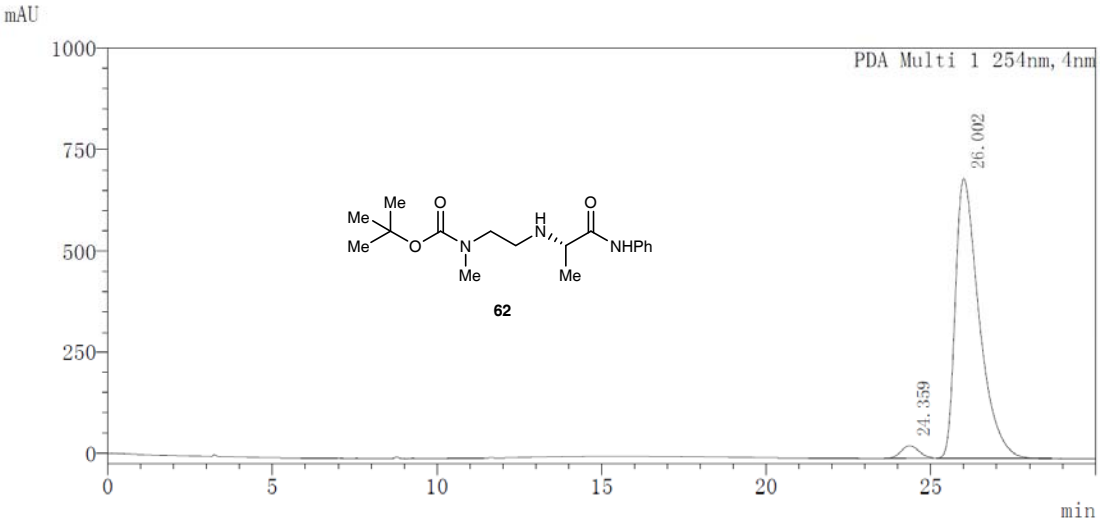
Peak#	Ret. Time	Area	Area%
1	14.360	16314622	96.207
2	20.480	643270	3.793



Peak Table

PDA Ch1 254nm

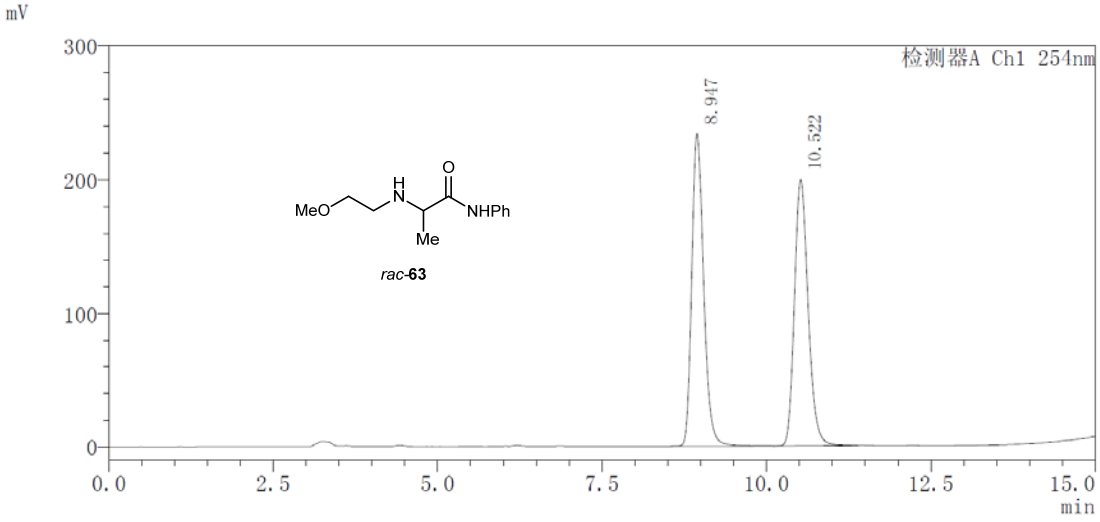
Peak#	Ret. Time	Area	Area%
1	24.217	16369359	49.936
2	26.190	16411001	50.064



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	24.359	1140233	3.142
2	26.002	35144867	96.858



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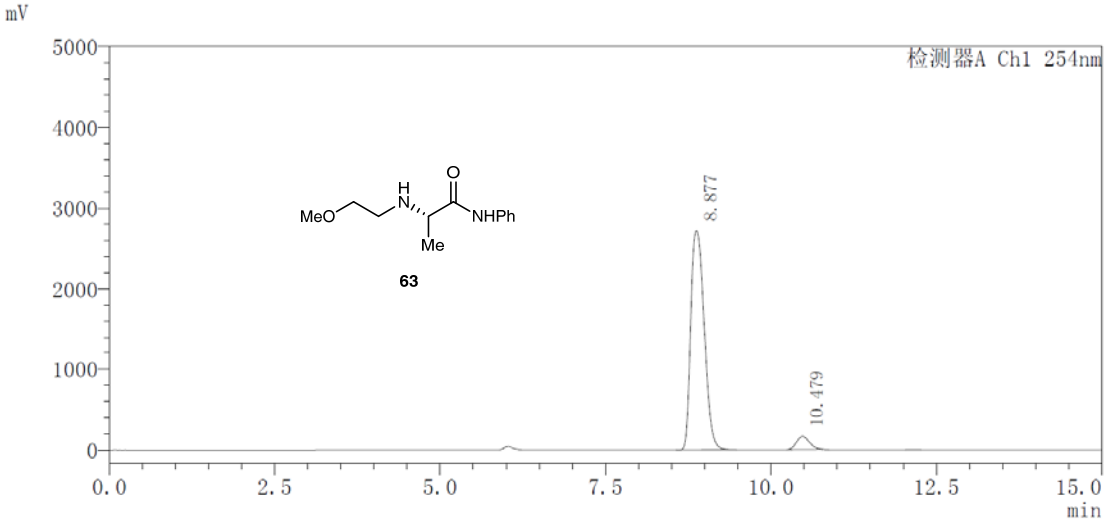
Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.947	2915283	50.150
2	10.522	2897853	49.850



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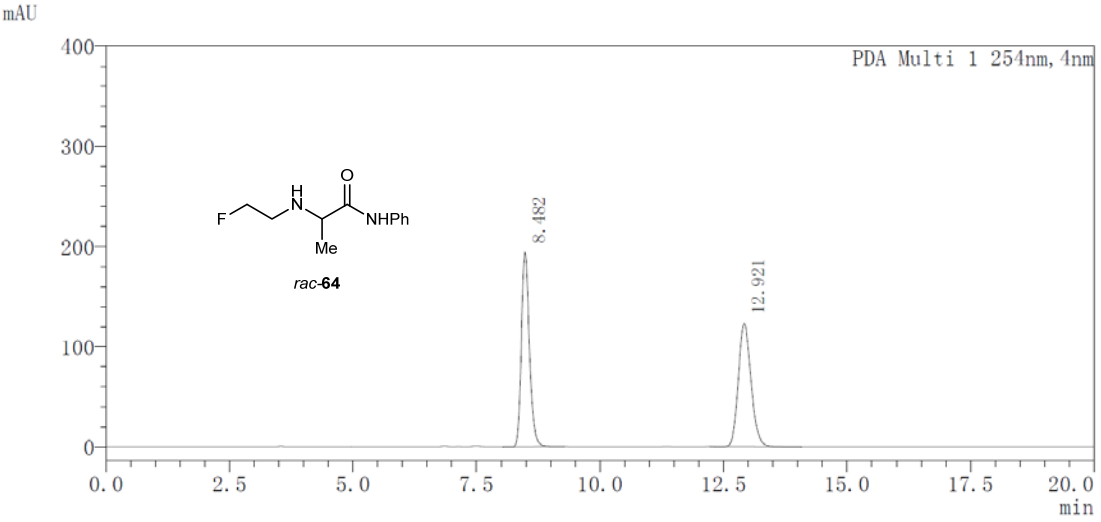
Peak Table

检测器A Ch1 254nm

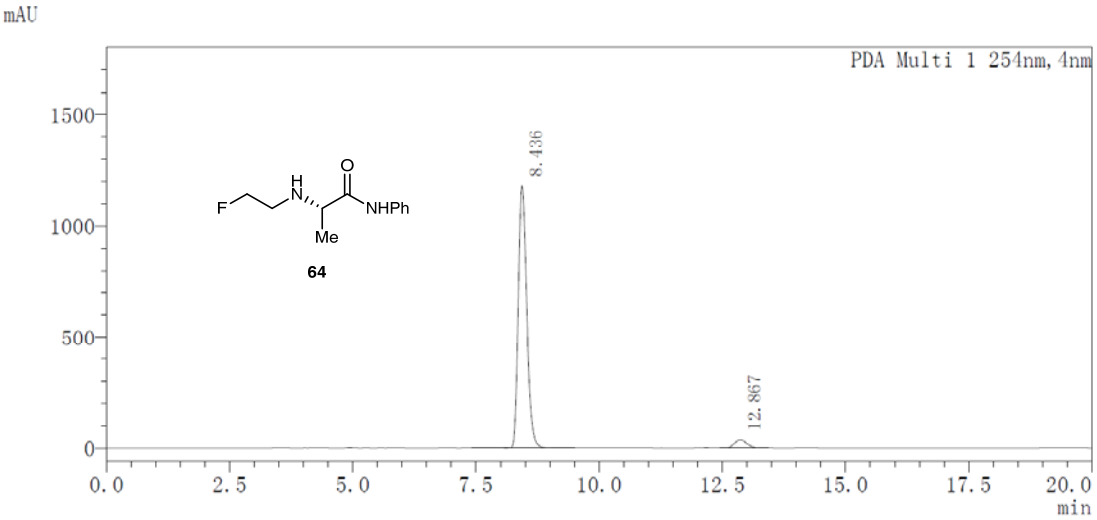
Peak#	Ret. Time	Area	Area%
1	8.877	38115387	94.499
2	10.479	2218688	5.501



Peak Table

PDA Ch1 254nm

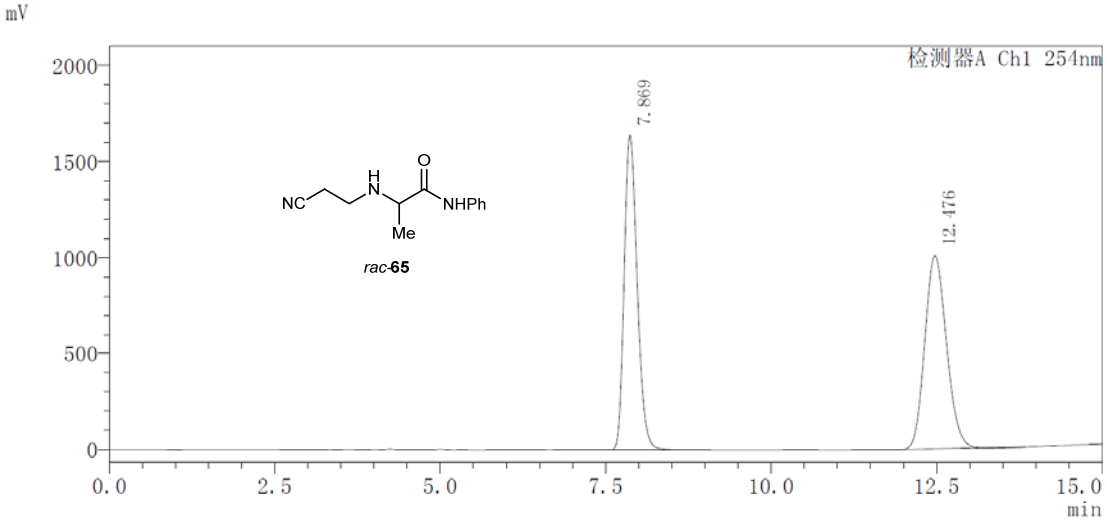
Peak#	Ret. Time	Area	Area%
1	8.482	2199610	50.009
2	12.921	2198789	49.991



Peak Table

PDA Ch1 254nm

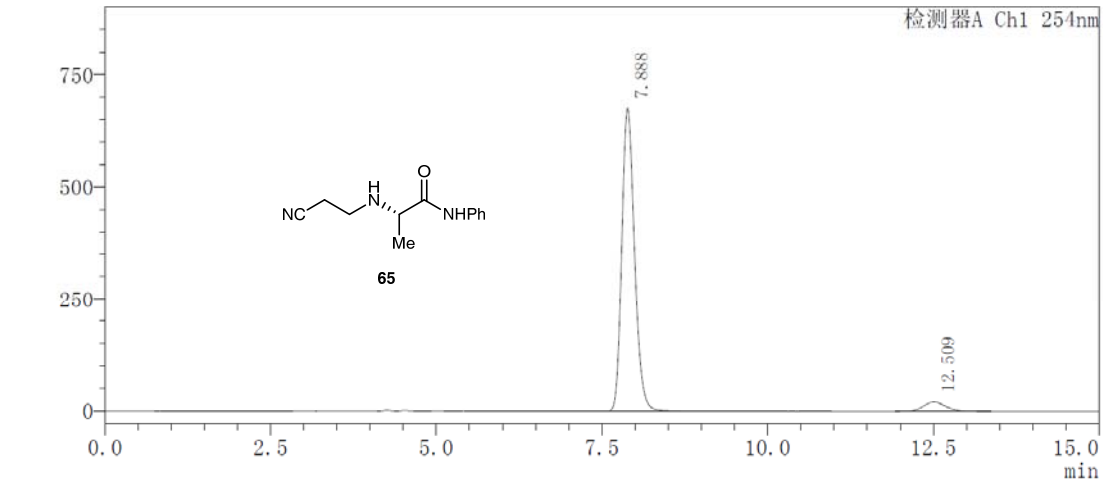
Peak#	Ret. Time	Area	Area%
1	8.436	14155435	95.676
2	12.867	639690	4.324



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.869	22403695	49.372
2	12.476	22973529	50.628

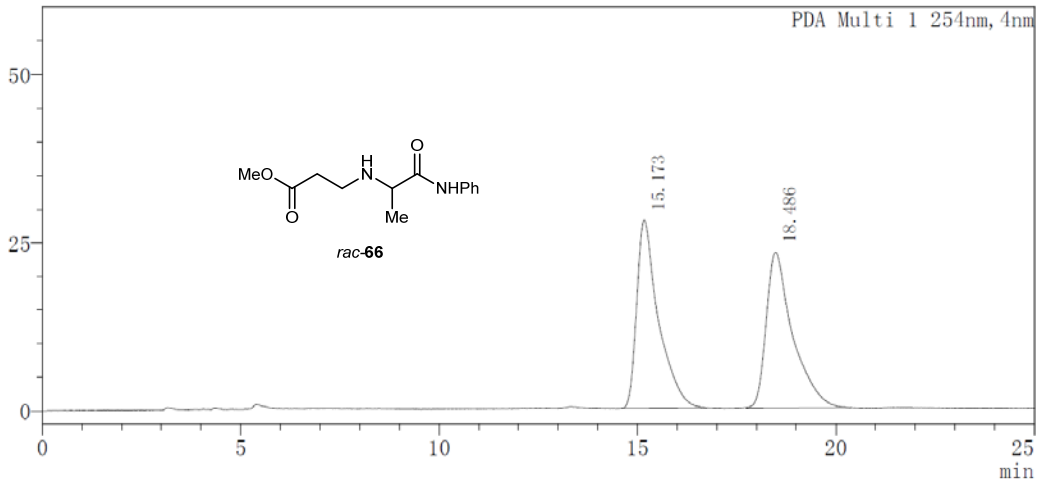


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.888	9037236	95.082
2	12.509	467446	4.918

mAU

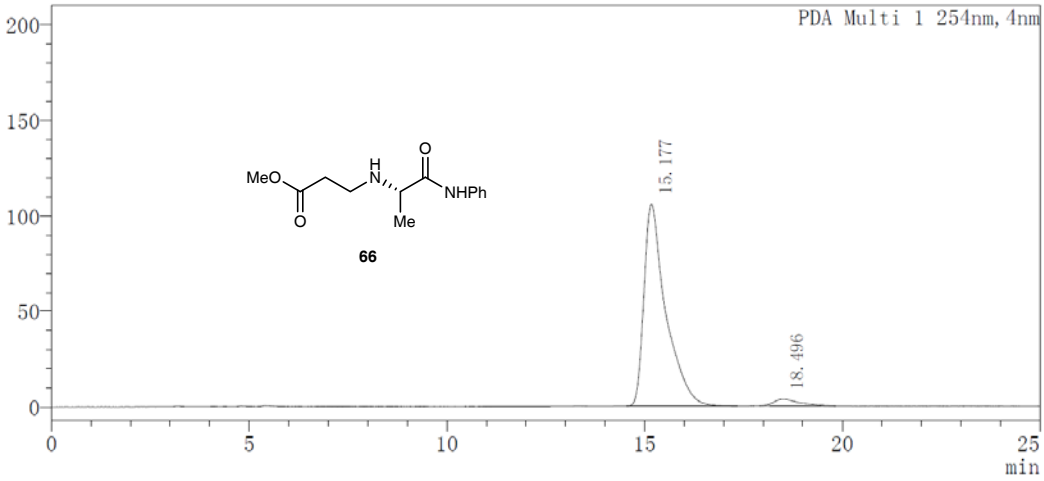


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.173	1065960	49.858
2	18.486	1072023	50.142

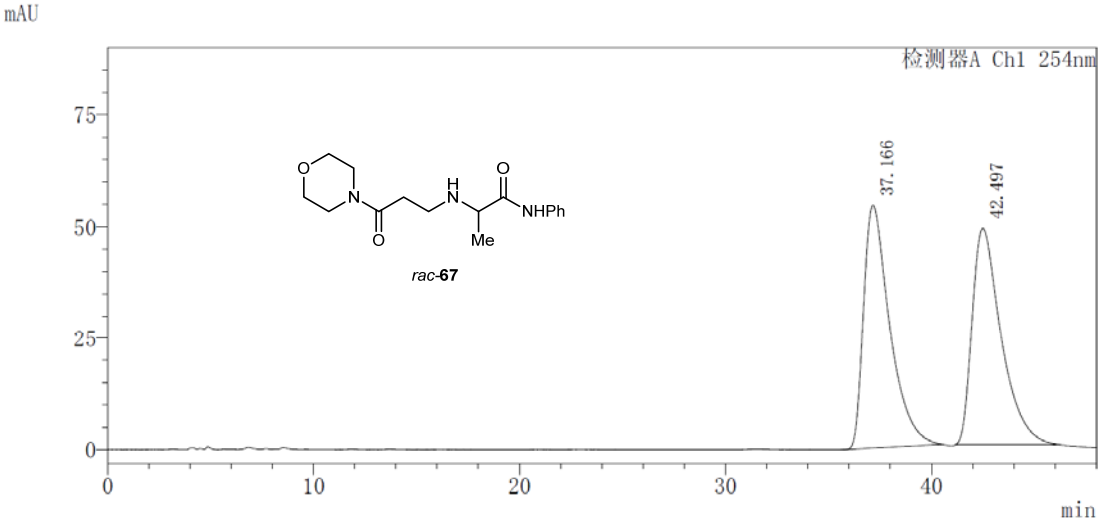
mAU



Peak Table

PDA Ch1 254nm

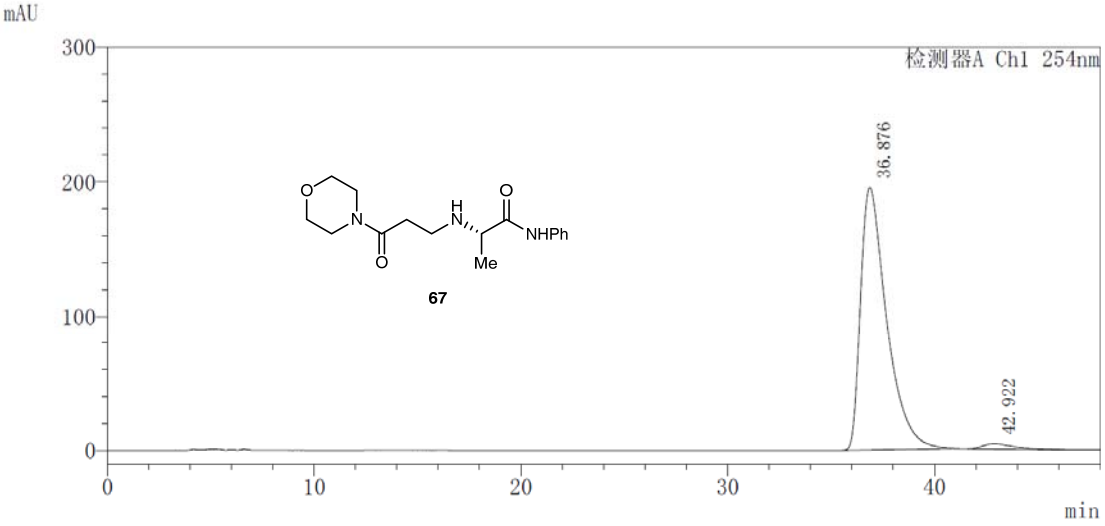
Peak#	Ret. Time	Area	Area%
1	15.177	4065792	96.148
2	18.496	162885	3.852



Peak Table

检测器A Ch1 254nm

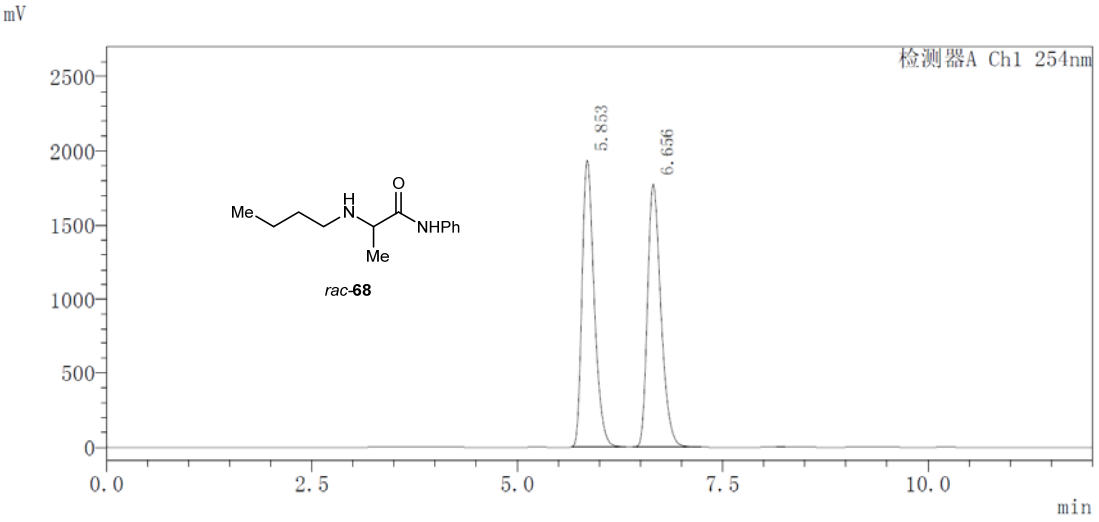
Peak#	Ret. Time	Area	Area%
1	37.166	4812377	50.192
2	42.497	4775589	49.808



Peak Table

检测器A Ch1 254nm

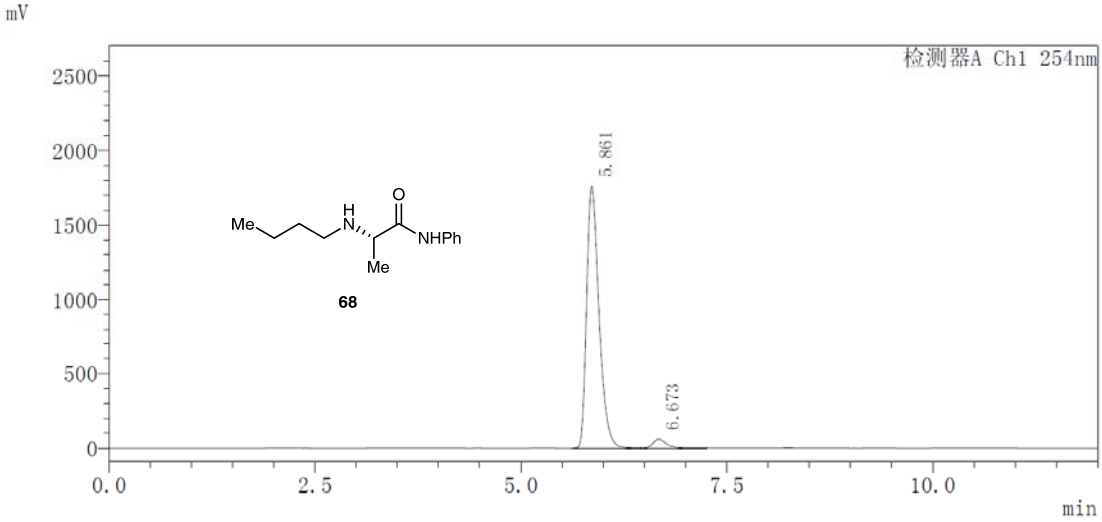
Peak#	Ret. Time	Area	Area%
1	36.876	17173442	97.701
2	42.922	404076	2.299



Peak Table

检测器A Ch1 254nm

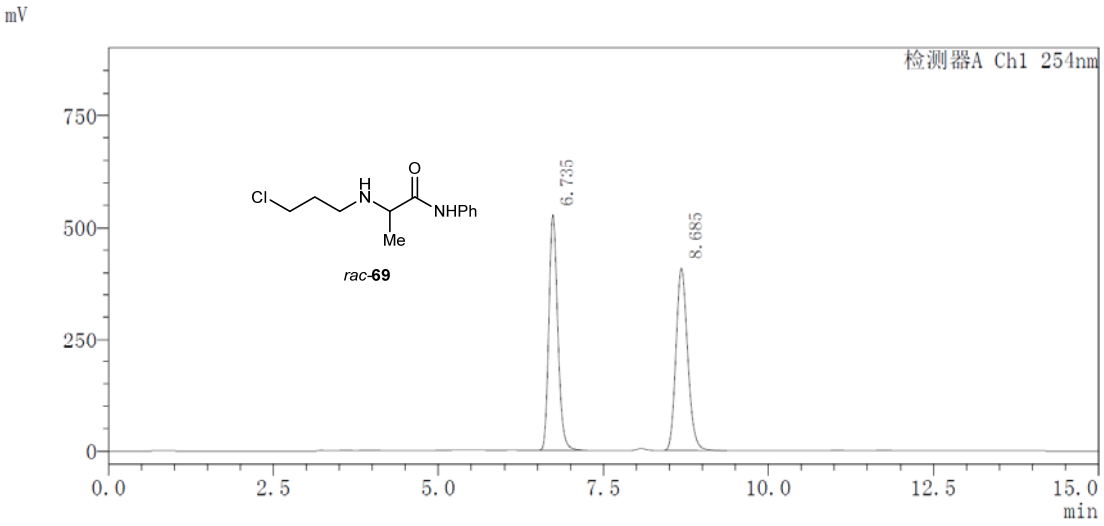
Peak#	Ret. Time	Area	Area%
1	5.853	19885078	49.597
2	6.656	20207917	50.403



Peak Table

检测器A Ch1 254nm

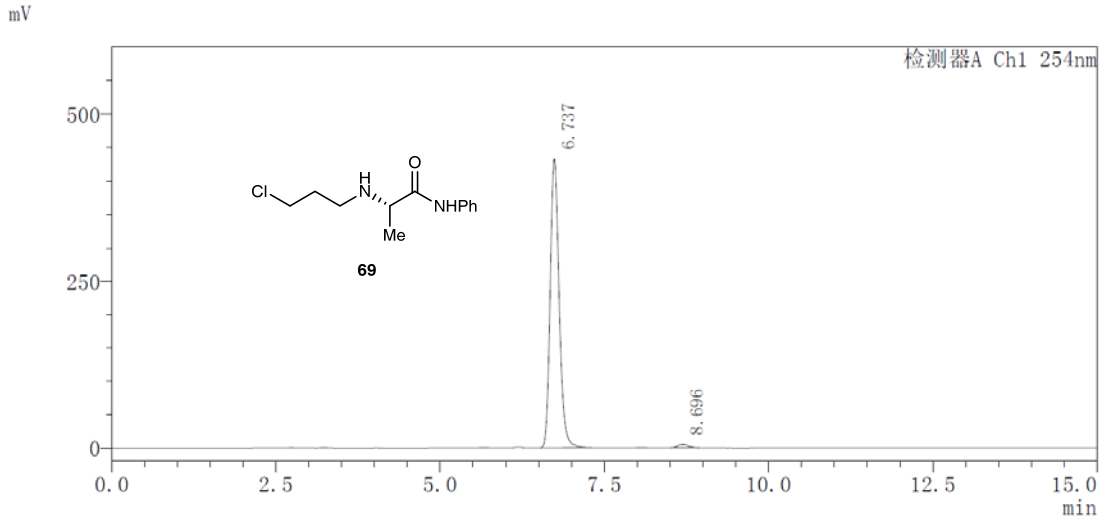
Peak#	Ret. Time	Area	Area%
1	5.861	18363481	96.305
2	6.673	704558	3.695



Peak Table

检测器A Ch1 254nm

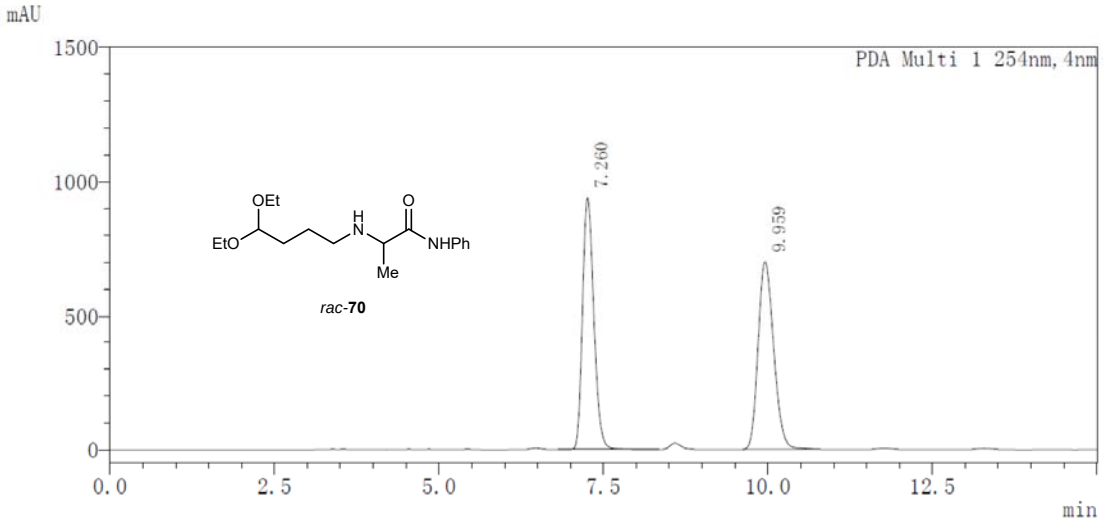
Peak#	Ret. Time	Area	Area%
1	6.735	4978151	49.609
2	8.685	5056684	50.391



Peak Table

检测器A Ch1 254nm

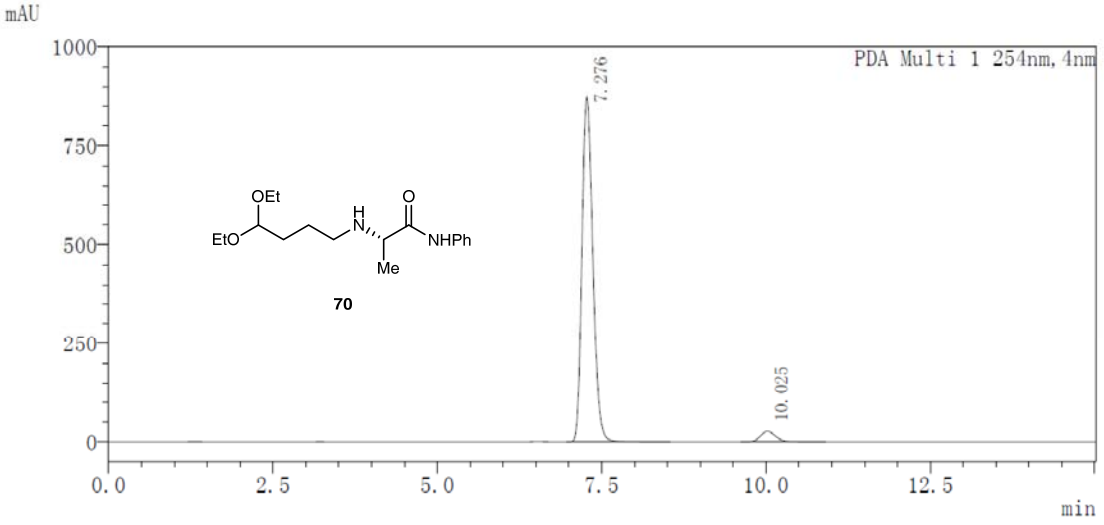
Peak#	Ret. Time	Area	Area%
1	6.737	4096946	98.658
2	8.696	55745	1.342



Peak Table

PDA Ch1 254nm

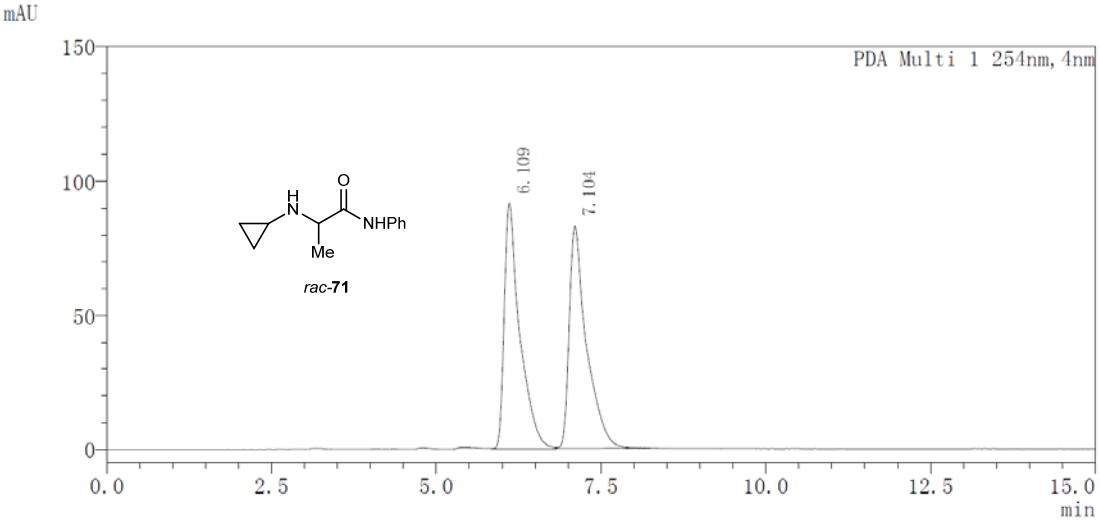
Peak#	Ret. Time	Area	Area%
1	7.260	11254300	49.342
2	9.959	11554681	50.658



Peak Table

PDA Ch1 254nm

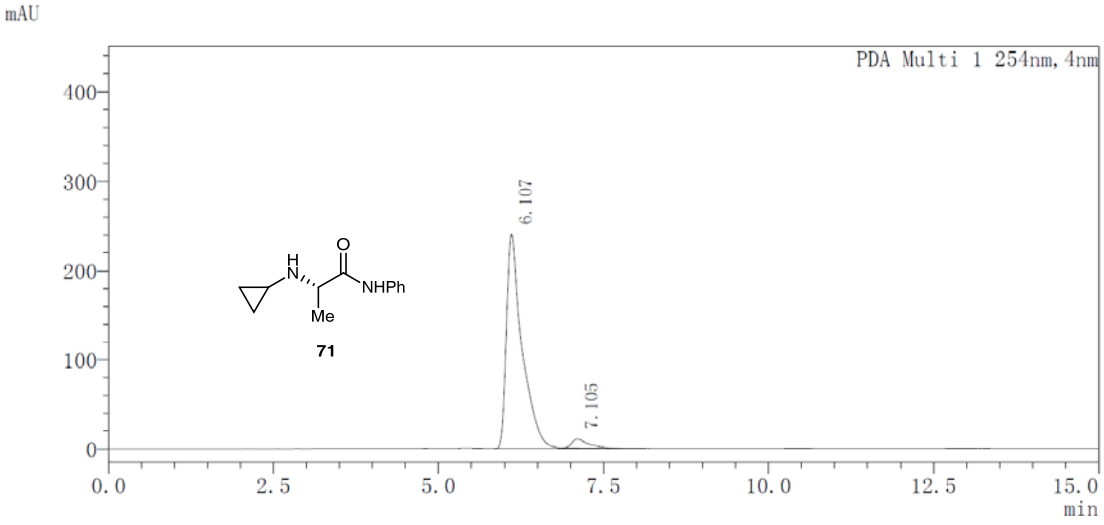
Peak#	Ret. Time	Area	Area%
1	7.276	10116398	95.632
2	10.025	462118	4.368



Peak Table

PDA Ch1 254nm

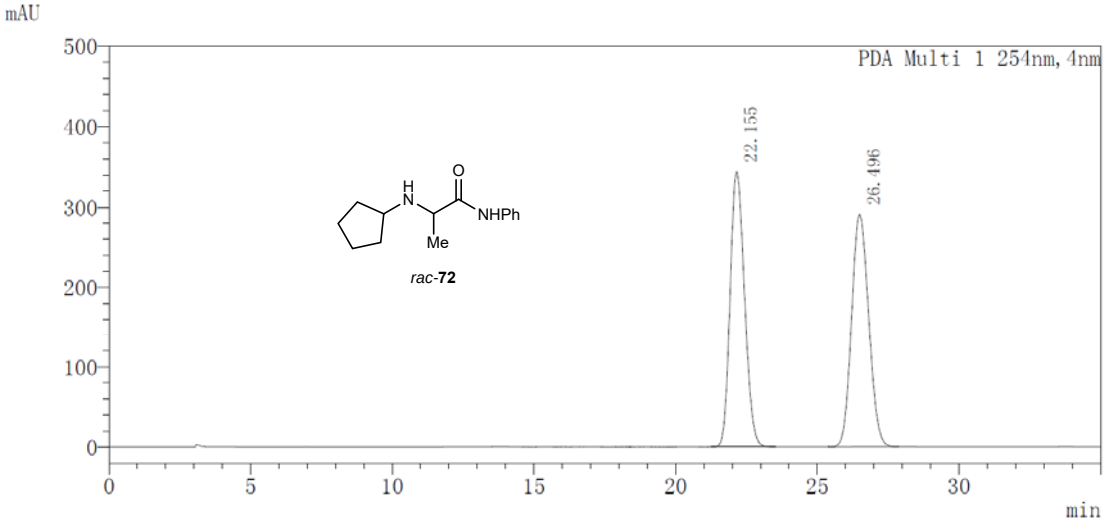
Peak#	Ret. Time	Area	Area%
1	6.109	1501668	49.735
2	7.104	1517697	50.265



Peak Table

PDA Ch1 254nm

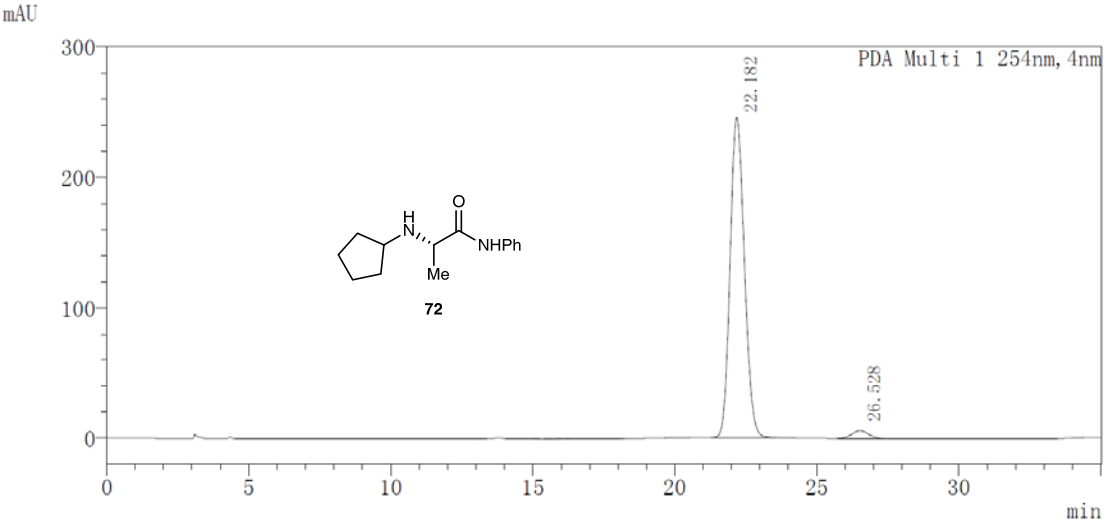
Peak#	Ret. Time	Area	Area%
1	6.107	4005610	95.665
2	7.105	181503	4.335



Peak Table

PDA Ch1 254nm

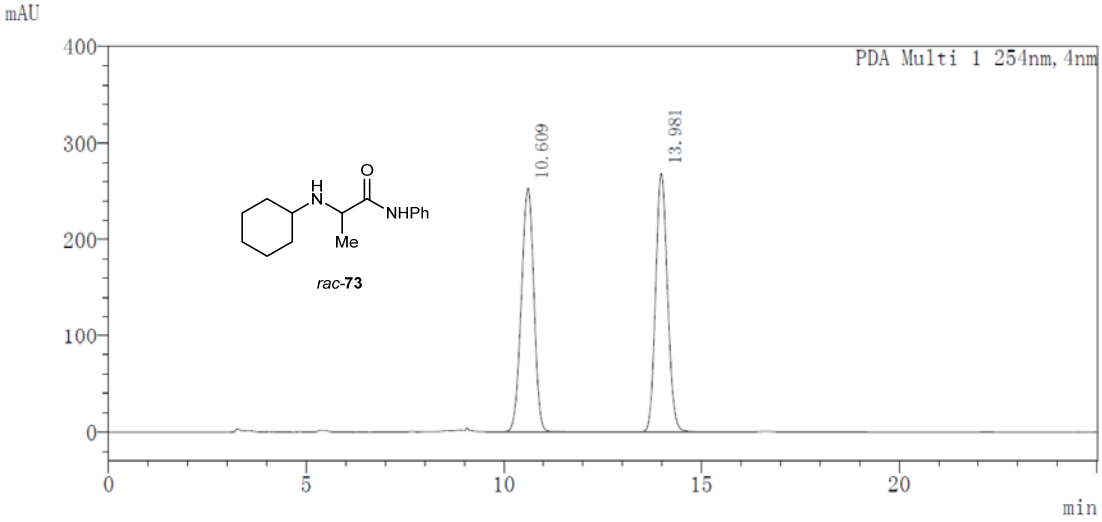
Peak#	Ret. Time	Area	Area%
1	22.155	12157328	49.899
2	26.496	12206470	50.101



Peak Table

PDA Ch1 254nm

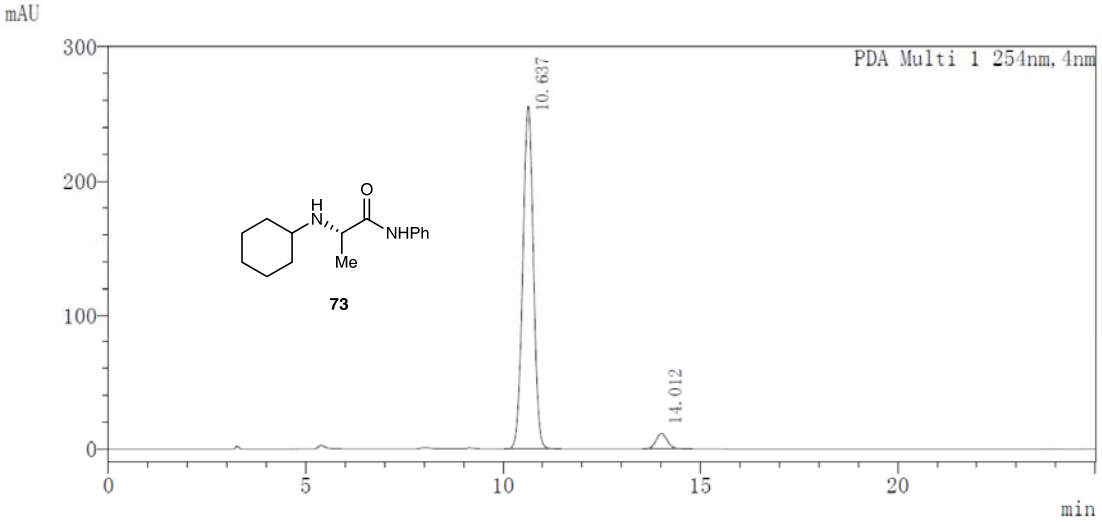
Peak#	Ret. Time	Area	Area%
1	22.182	8577778	97.325
2	26.528	235793	2.675



Peak Table

PDA Ch1 254nm

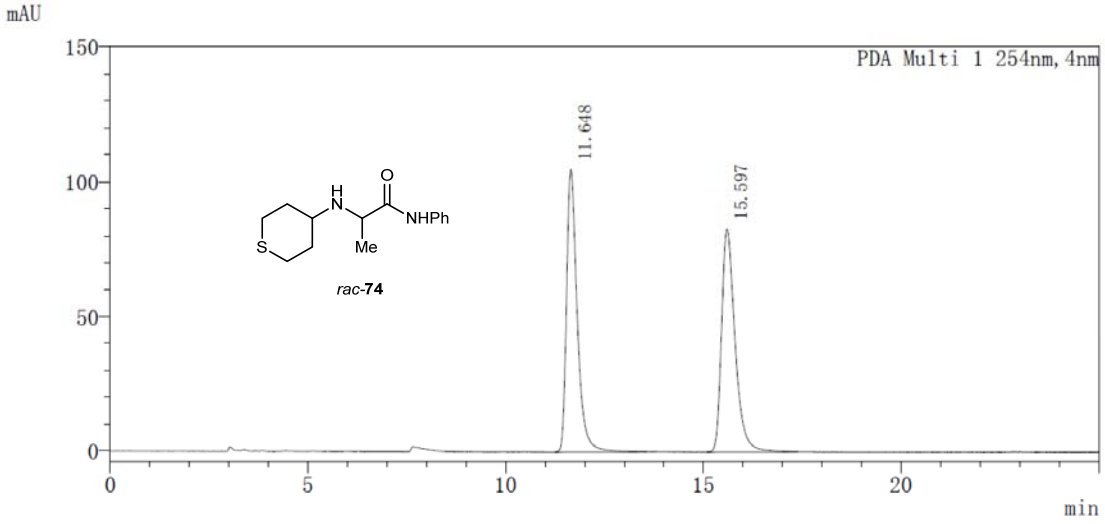
Peak#	Ret. Time	Area	Area%
1	10.609	5590956	49.966
2	13.981	5598480	50.034



Peak Table

PDA Ch1 254nm

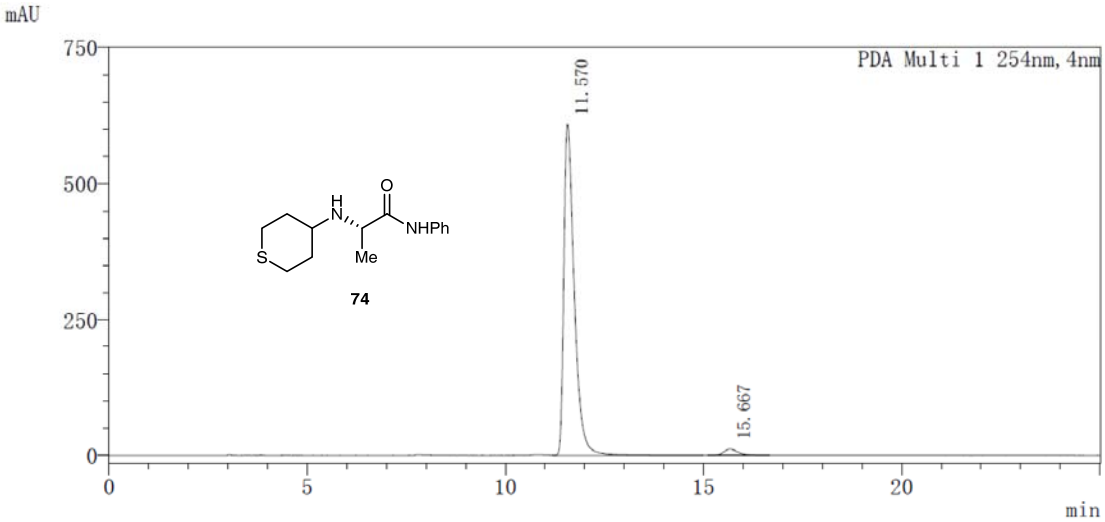
Peak#	Ret. Time	Area	Area%
1	10.637	4769365	95.464
2	14.012	226614	4.536



Peak Table

PDA Ch1 254nm

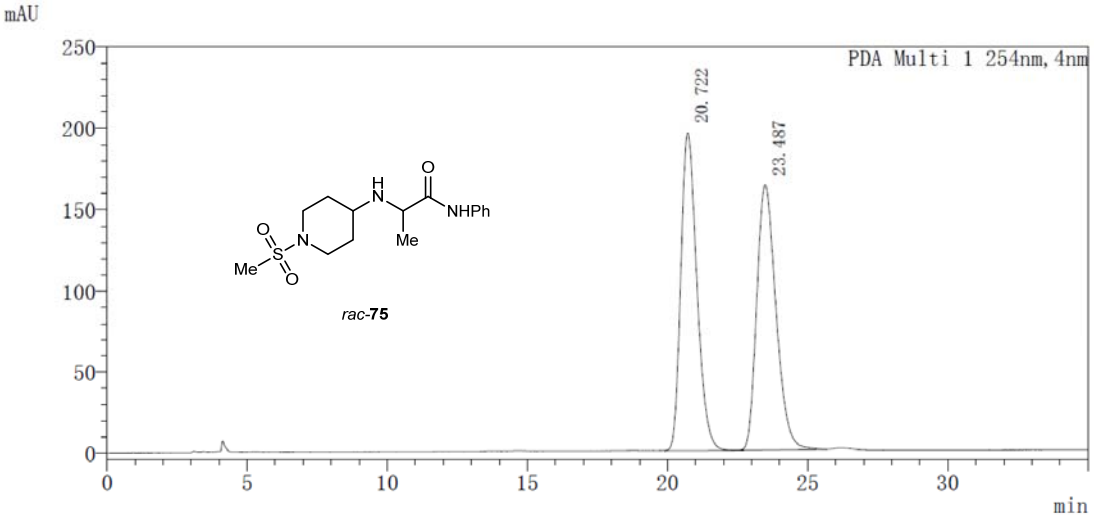
Peak#	Ret. Time	Area	Area%
1	11.648	1971181	50.044
2	15.597	1967734	49.956



Peak Table

PDA Ch1 254nm

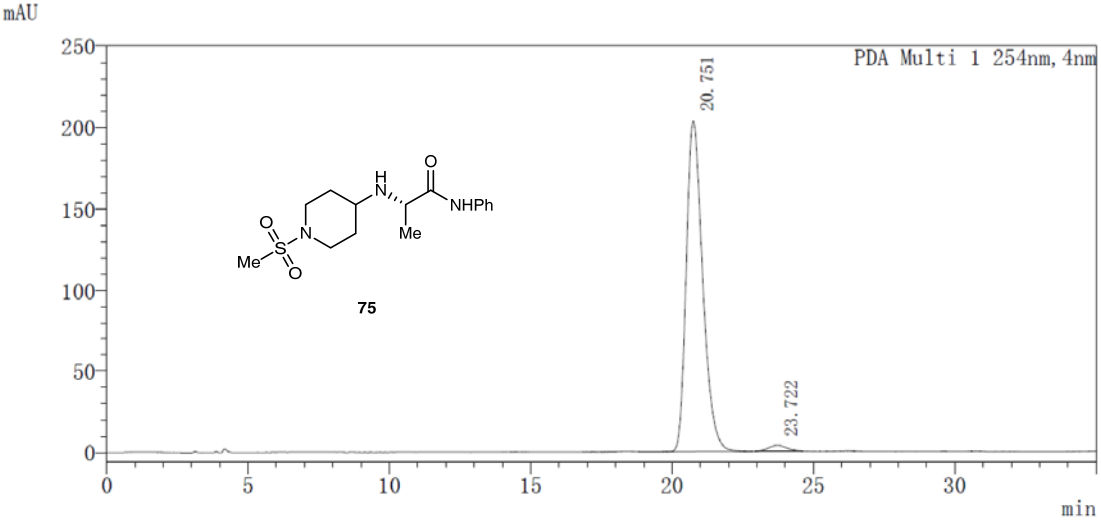
Peak#	Ret. Time	Area	Area%
1	11.570	11188843	97.517
2	15.667	284940	2.483



Peak Table

PDA Ch1 254nm

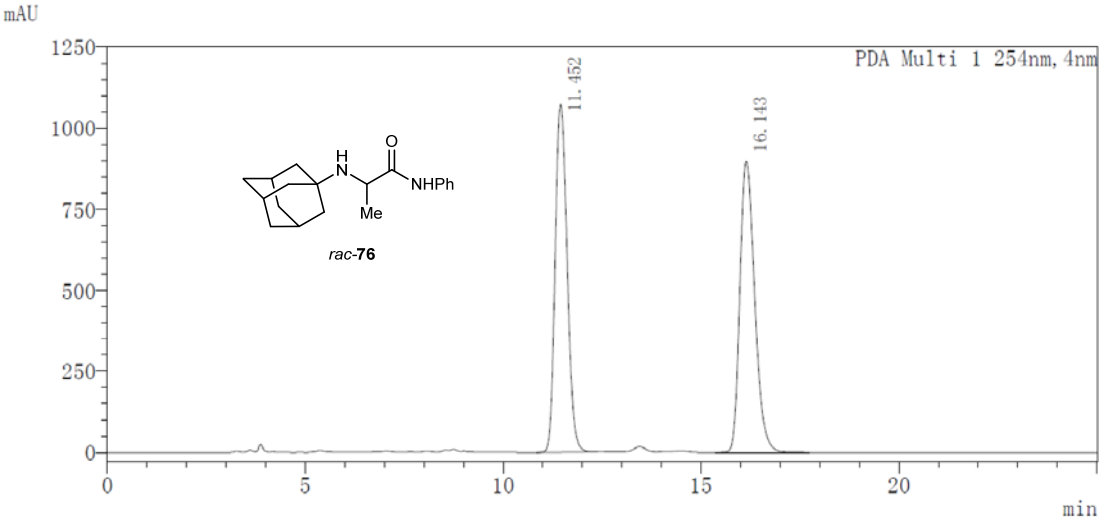
Peak#	Ret. Time	Area	Area%
1	20.722	7806774	49.988
2	23.487	7810452	50.012



Peak Table

PDA Ch1 254nm

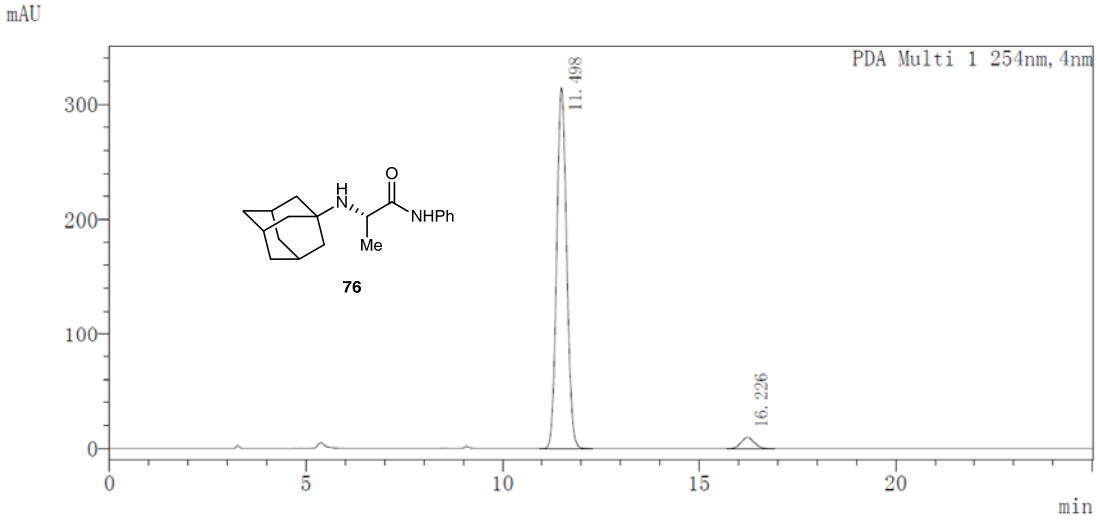
Peak#	Ret. Time	Area	Area%
1	20.751	8256004	97.933
2	23.722	174221	2.067



Peak Table

PDA Ch1 254nm

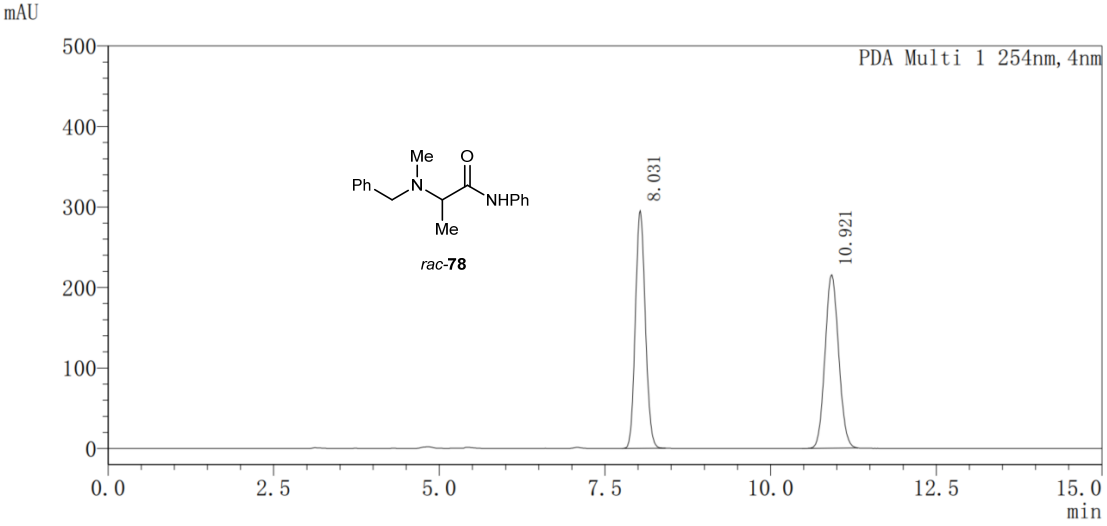
Peak#	Ret. Time	Area	Area%
1	11.452	22582496	49.116
2	16.143	23395004	50.884



Peak Table

PDA Ch1 254nm

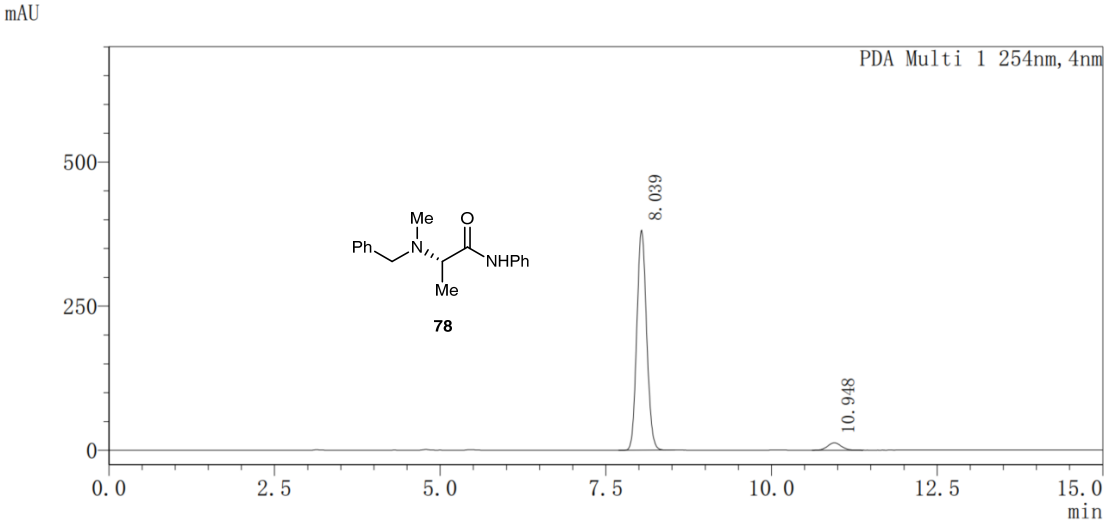
Peak#	Ret. Time	Area	Area%
1	11.498	5542643	96.122
2	16.226	223589	3.878



Peak Table

PDA Ch1 254nm

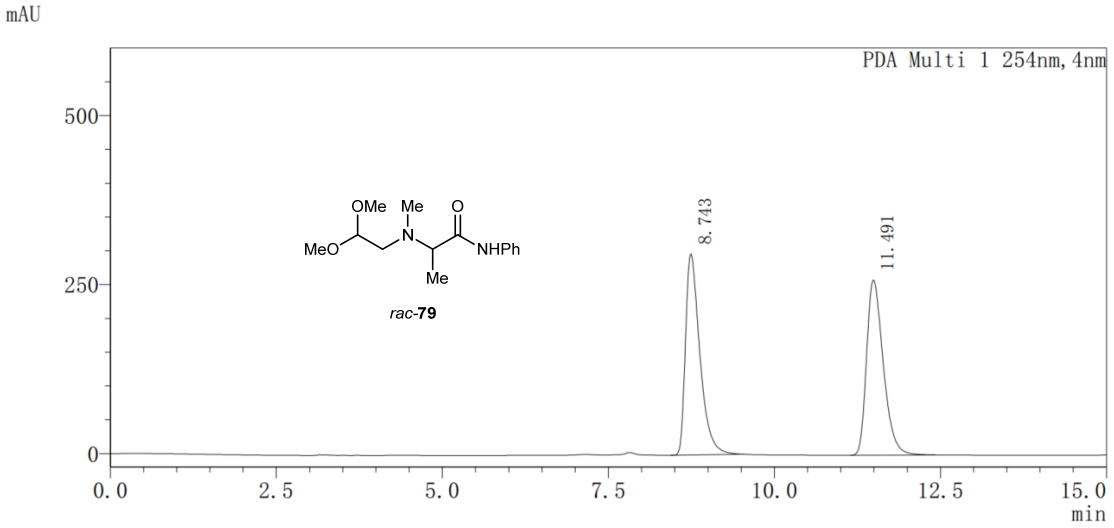
Peak#	Ret. Time	Area	Area%
1	8.031	3085554	50.036
2	10.921	3081160	49.964



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.039	3923199	95.617
2	10.948	179831	4.383



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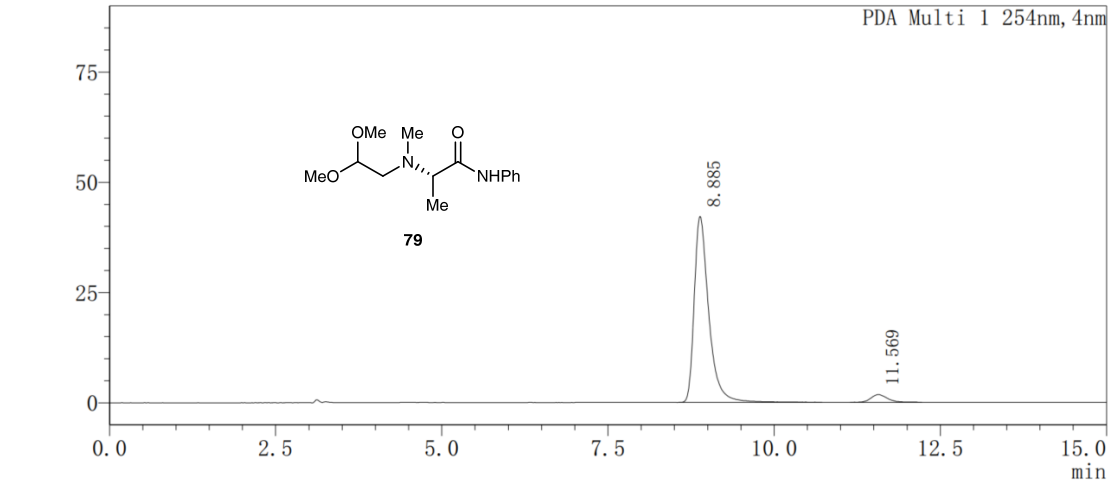
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.743	4487001	49.730
2	11.491	4535751	50.270



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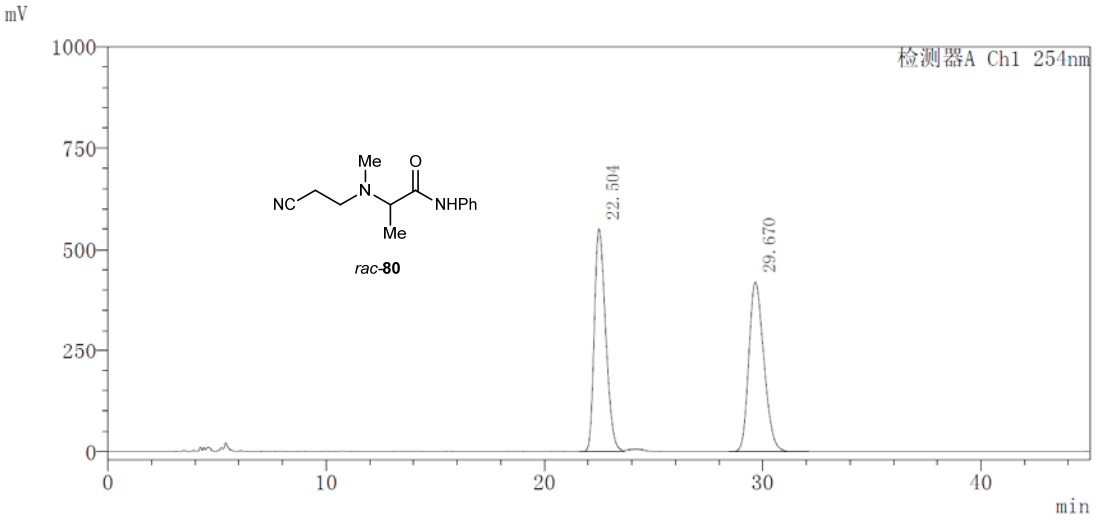
Peak Table

PDA Ch1 254nm

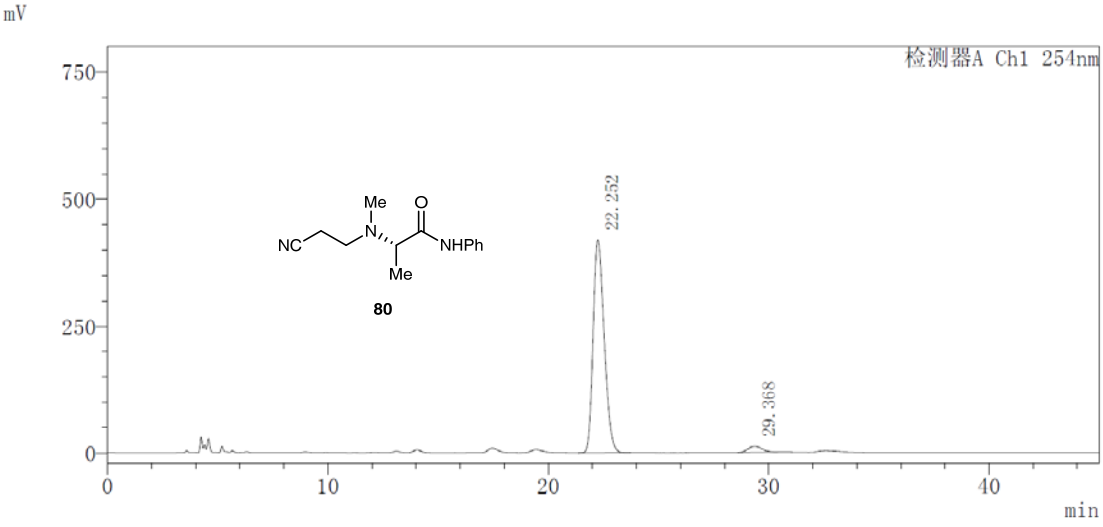
Peak#	Ret. Time	Area	Area%
1	8.885	641653	95.582
2	11.569	29660	4.418



Peak Table

检测器A Ch1 254nm

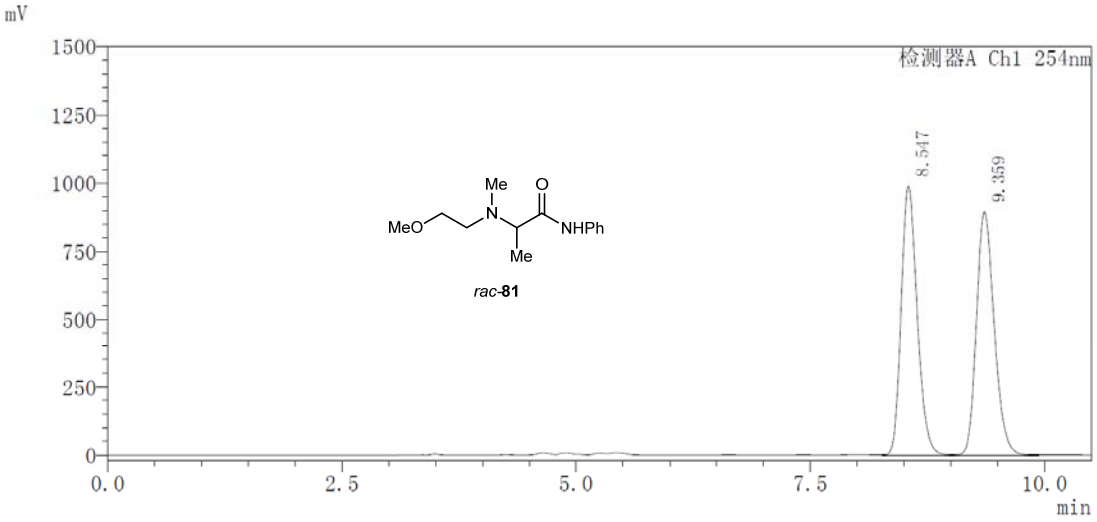
Peak#	Ret. Time	Area	Area%
1	22.504	19965279	49.921
2	29.670	20028165	50.079



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	22.252	14761863	96.500
2	29.368	535474	3.500



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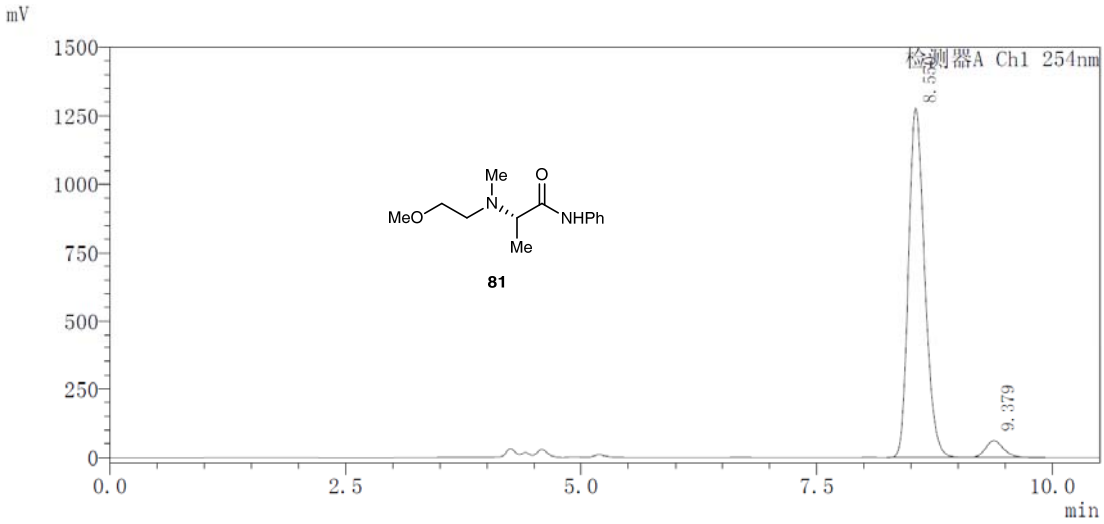
Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.547	11753416	49.824
2	9.359	11836688	50.176



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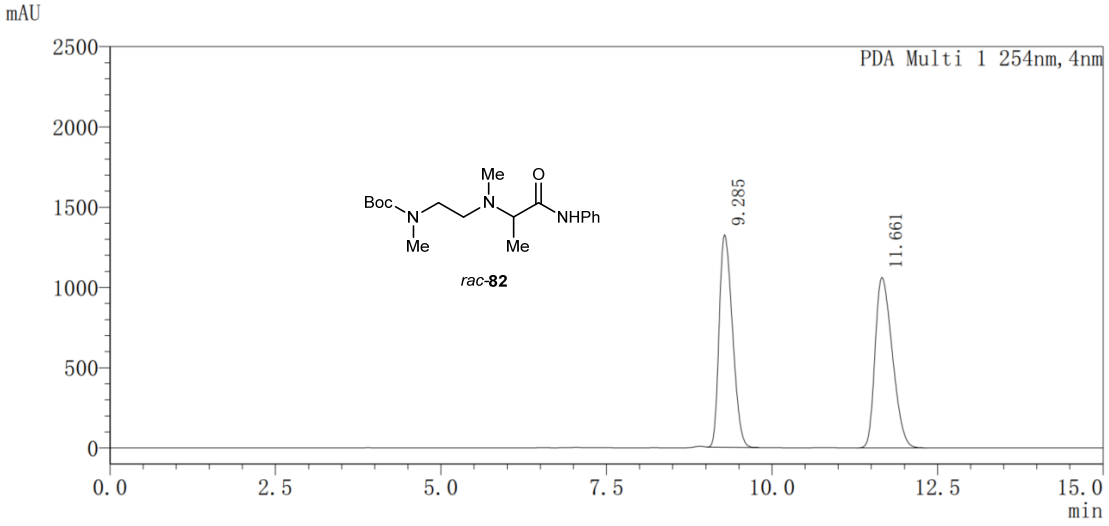
Peak Table

检测器A Ch1 254nm

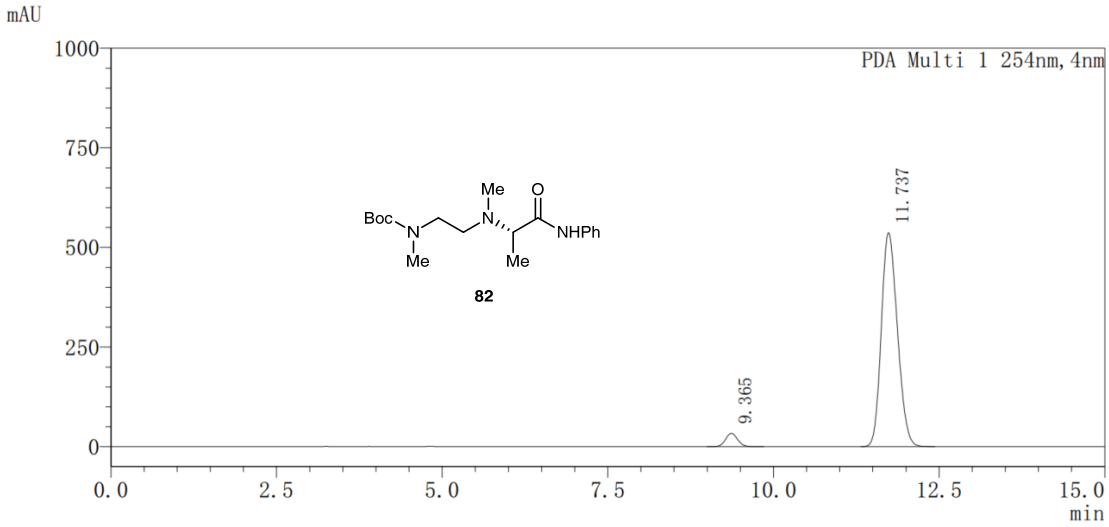
Peak#	Ret. Time	Area	Area%
1	8.550	15283323	94.985
2	9.379	806914	5.015



Peak Table

PDA Ch1 254nm

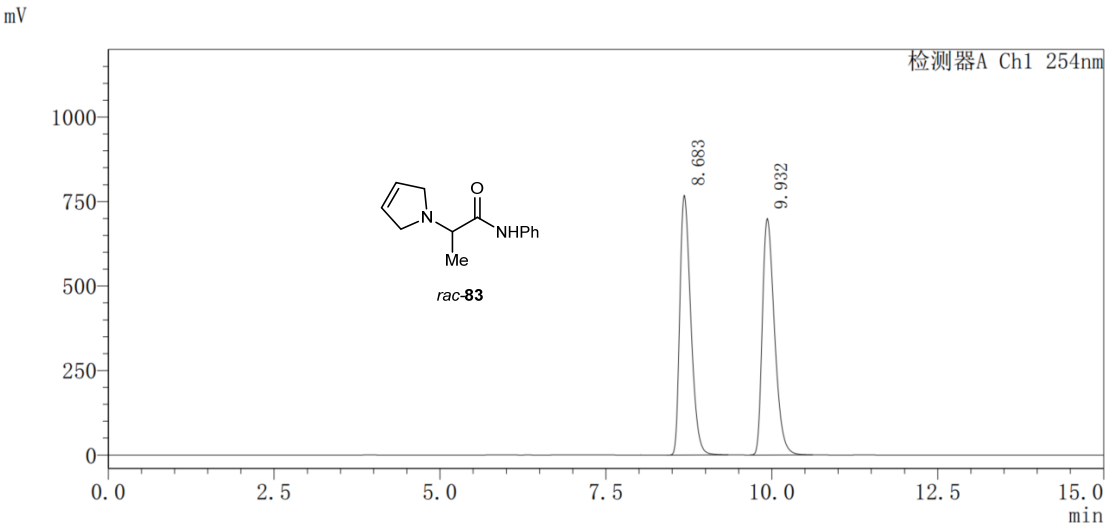
Peak#	Ret. Time	Area	Area%
1	9.285	18462073	48.877
2	11.661	19310399	51.123



Peak Table

PDA Ch1 254nm

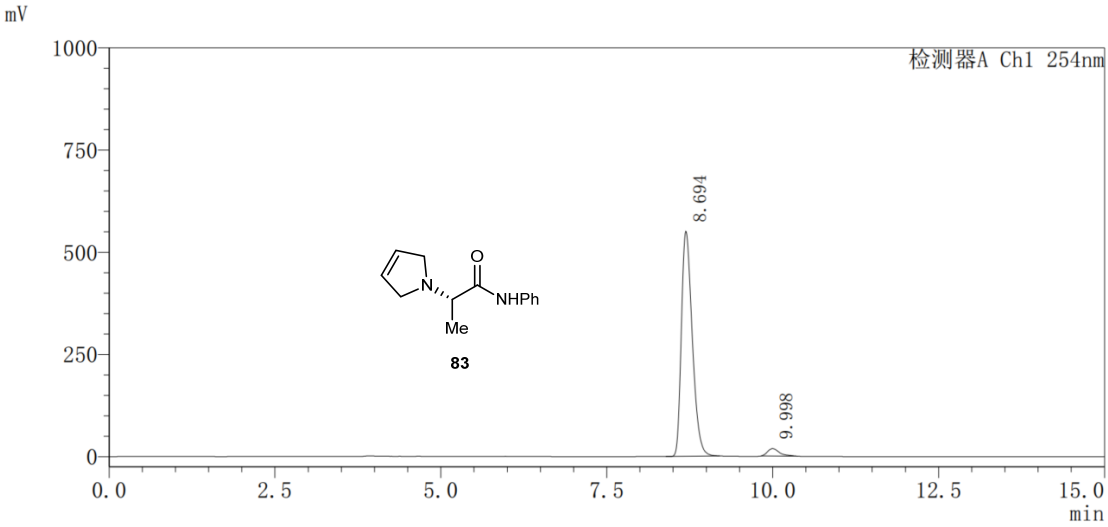
Peak#	Ret. Time	Area	Area%
1	9.365	416961	4.394
2	11.737	9072797	95.606



Peak Table

检测器A Ch1 254nm

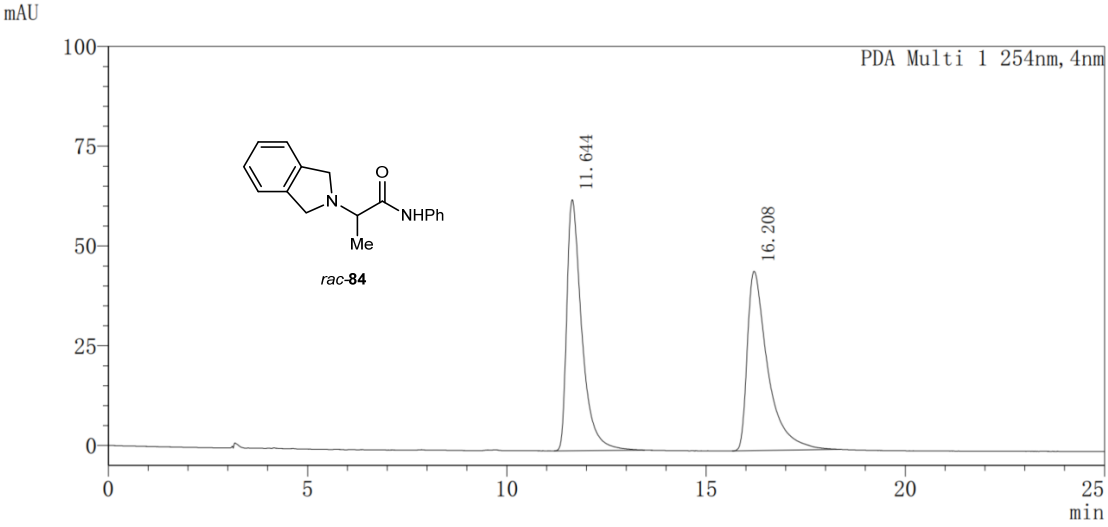
Peak#	Ret. Time	Area	Area%
1	8.683	8846574	49.707
2	9.932	8950750	50.293



Peak Table

检测器A Ch1 254nm

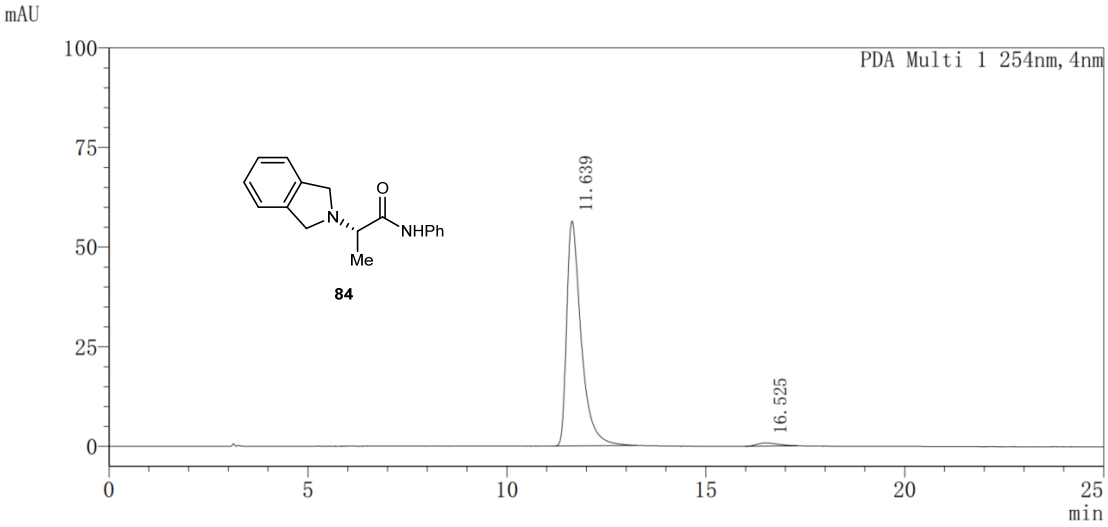
Peak#	Ret. Time	Area	Area%
1	8.694	6295302	96.463
2	9.998	230824	3.537



Peak Table

PDA Ch1 254nm

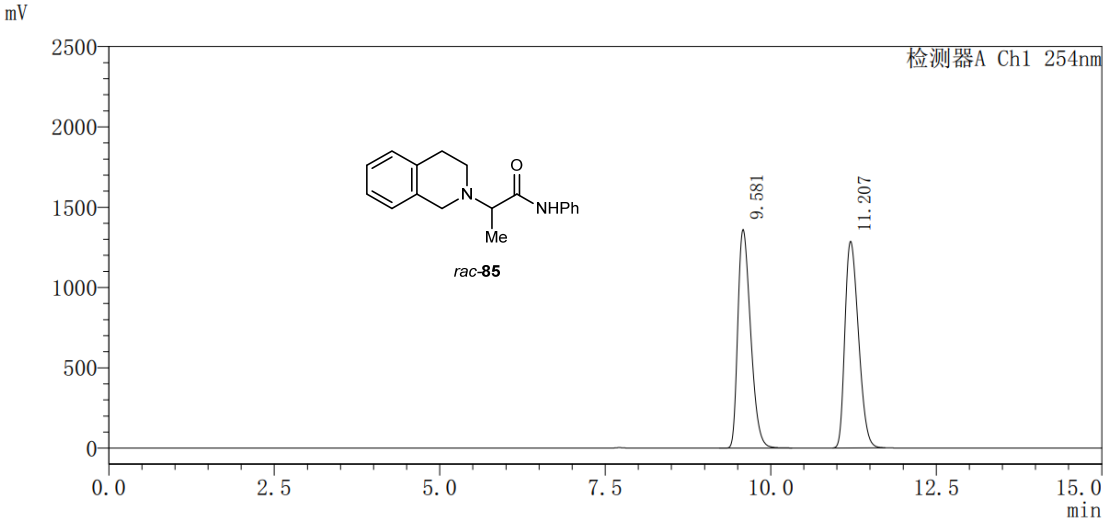
Peak#	Ret. Time	Area	Area%
1	11.644	1650099	50.522
2	16.208	1616031	49.478



Peak Table

PDA Ch1 254nm

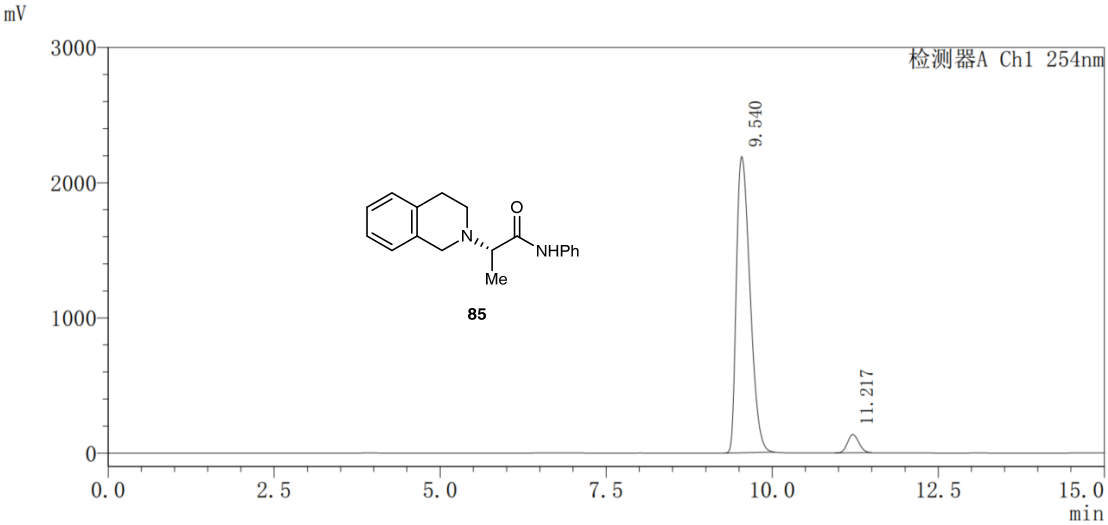
Peak#	Ret. Time	Area	Area%
1	11.639	1431117	98.032
2	16.525	28731	1.968



Peak Table

检测器A Ch1 254nm

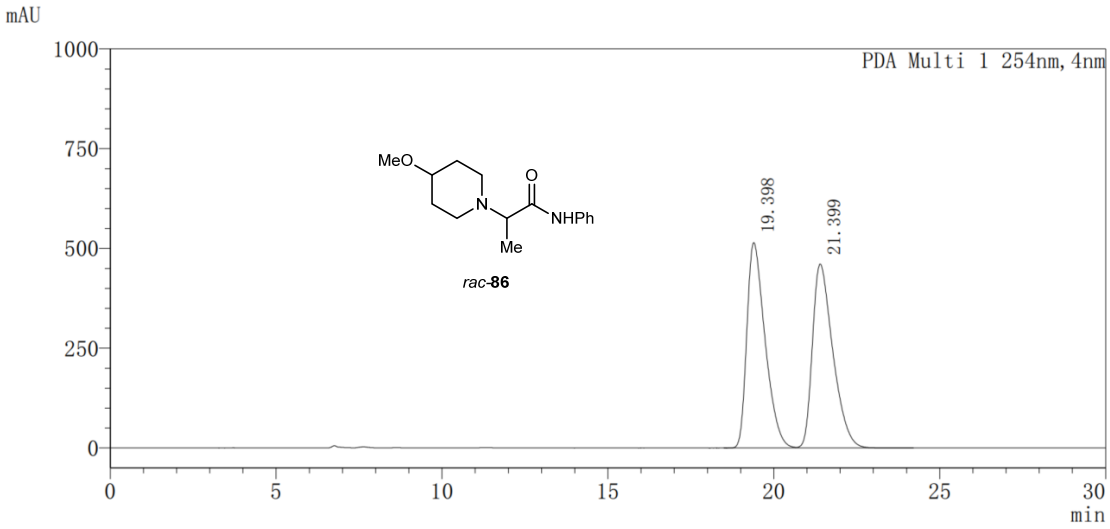
Peak#	Ret. Time	Area	Area%
1	9.581	18307666	49.998
2	11.207	18308837	50.002



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.540	31309836	95.045
2	11.217	1632219	4.955



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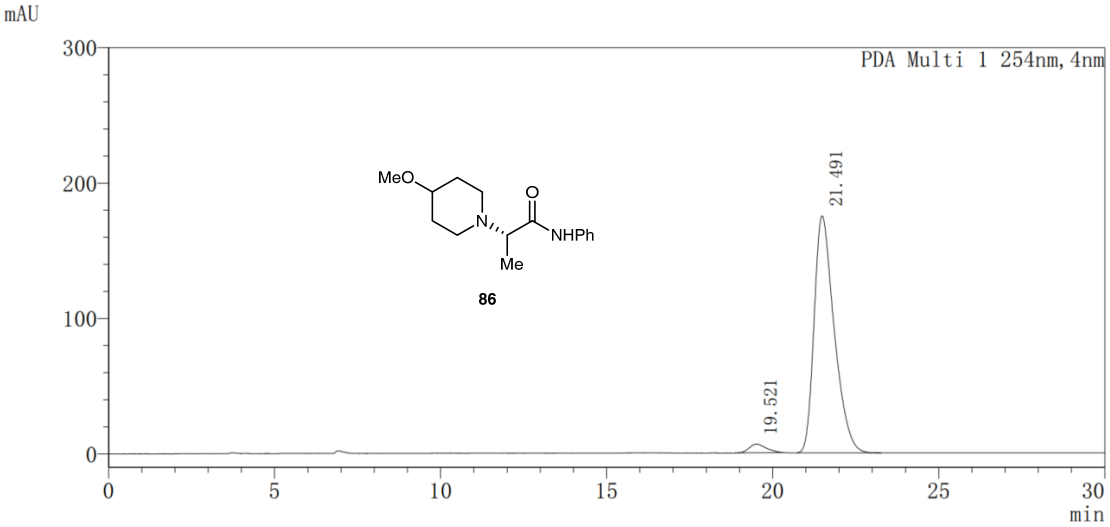
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.398	19818549	49.888
2	21.399	19907160	50.112



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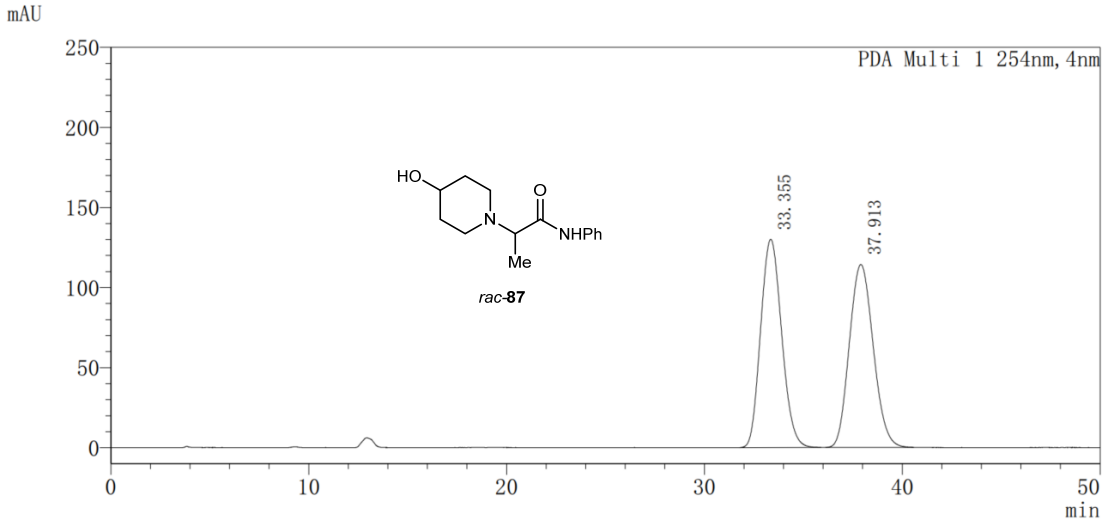
Peak Table

PDA Ch1 254nm

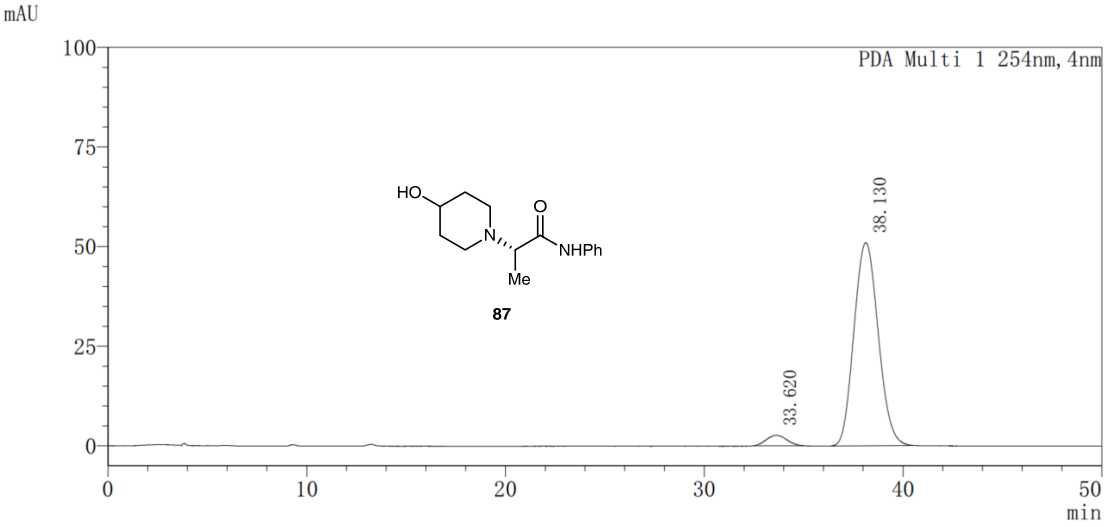
Peak#	Ret. Time	Area	Area%
1	19.521	229491	3.004
2	21.491	7410483	96.996



Peak Table

PDA Ch1 254nm

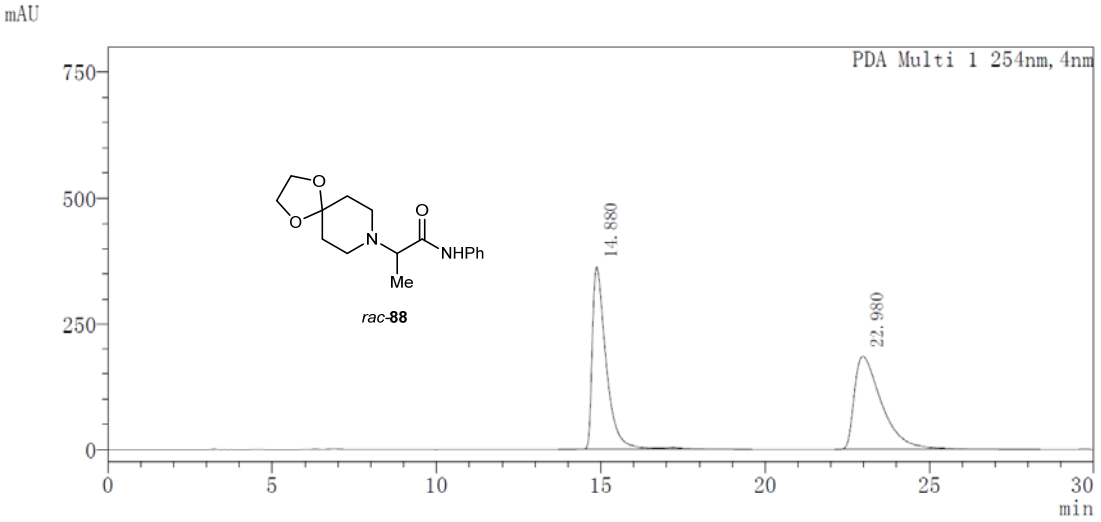
Peak#	Ret. Time	Area	Area%
1	33.355	9595221	50.017
2	37.913	9588792	49.983



Peak Table

PDA Ch1 254nm

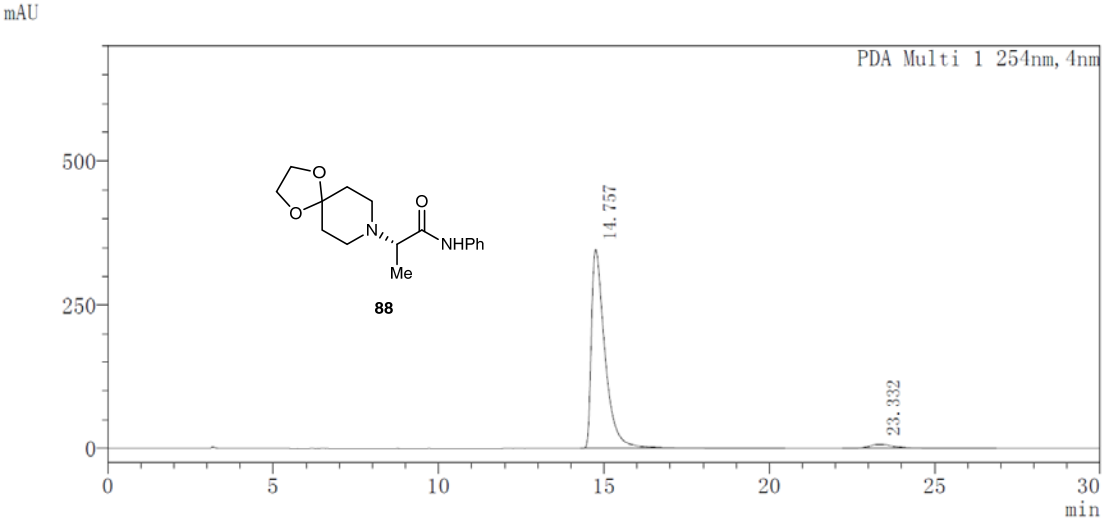
Peak#	Ret. Time	Area	Area%
1	33.620	184144	4.085
2	38.130	4324012	95.915



Peak Table

PDA Ch1 254nm

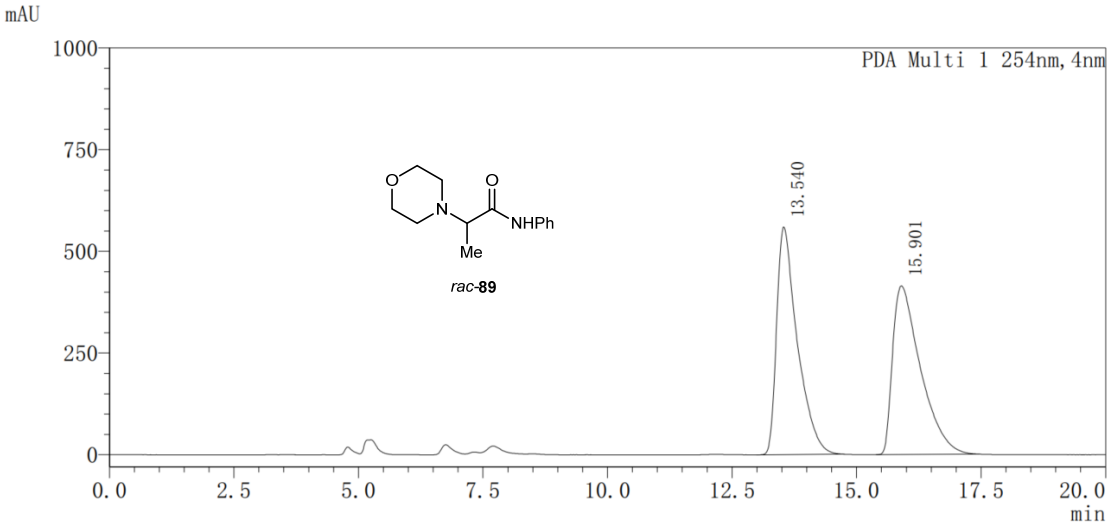
Peak#	Ret. Time	Area	Area%
1	14.880	10801391	50.160
2	22.980	10732575	49.840



Peak Table

PDA Ch1 254nm

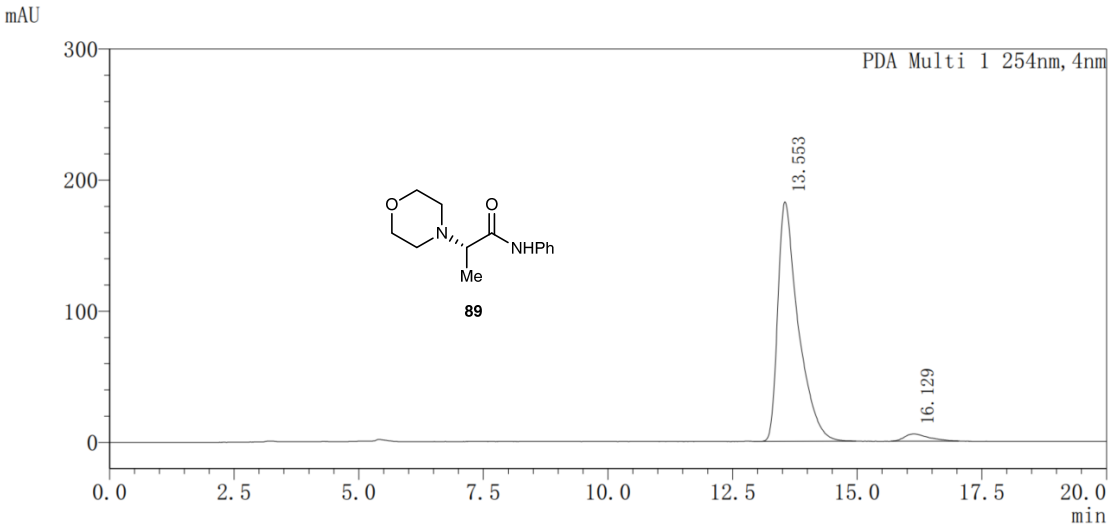
Peak#	Ret. Time	Area	Area%
1	14.757	9955981	96.475
2	23.332	363738	3.525



Peak Table

PDA Ch1 254nm

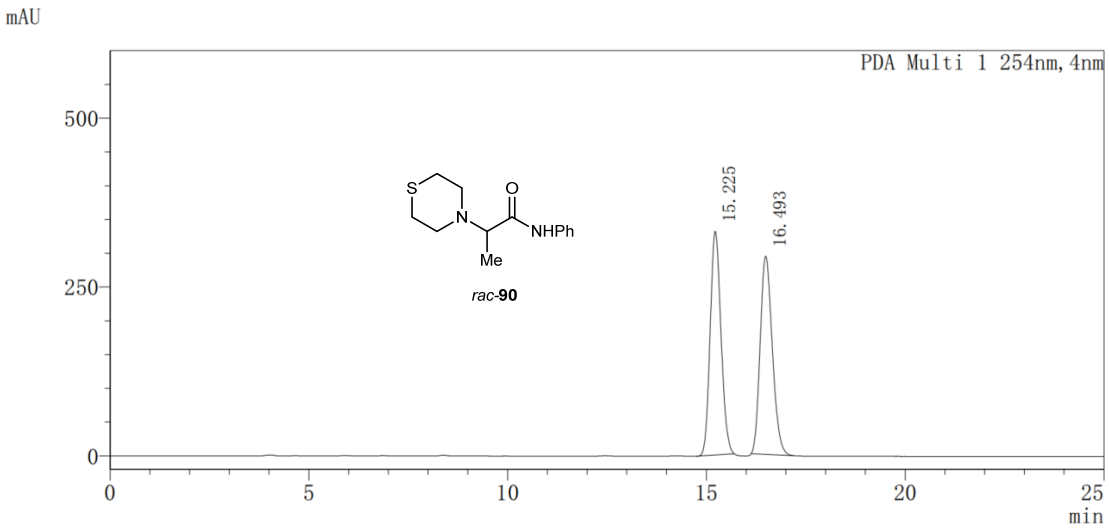
Peak#	Ret. Time	Area	Area%
1	13.540	16173982	49.953
2	15.901	16204517	50.047



Peak Table

PDA Ch1 254nm

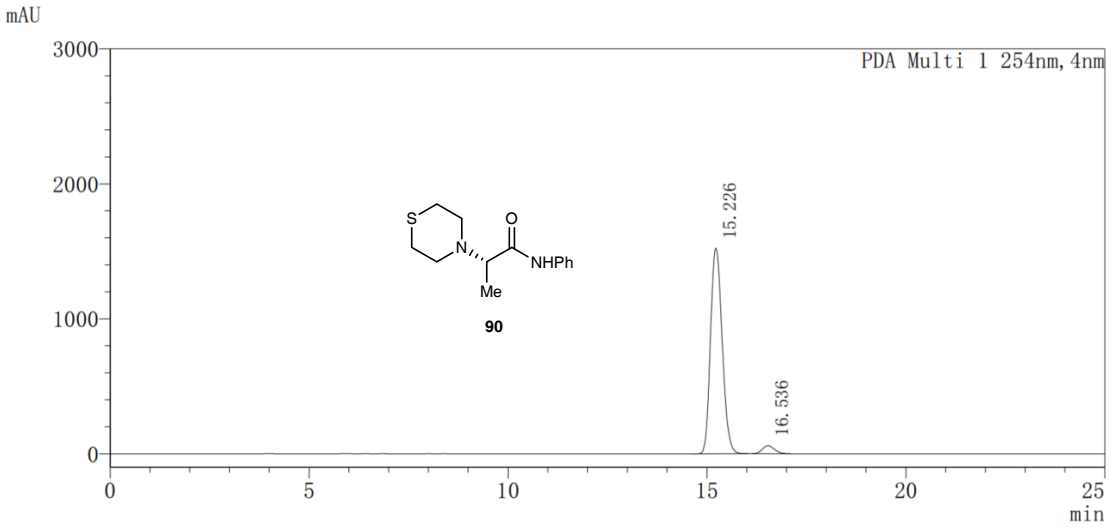
Peak#	Ret. Time	Area	Area%
1	13.553	5243159	96.586
2	16.129	185319	3.414



Peak Table

PDA Ch1 254nm

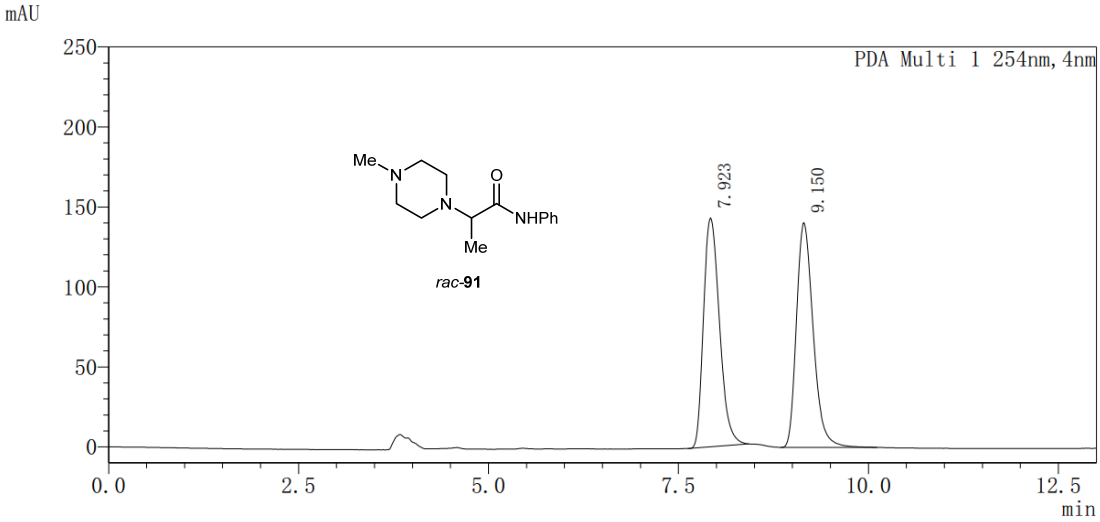
Peak#	Ret. Time	Area	Area%
1	15.225	6276154	50.157
2	16.493	6236859	49.843



Peak Table

PDA Ch1 254nm

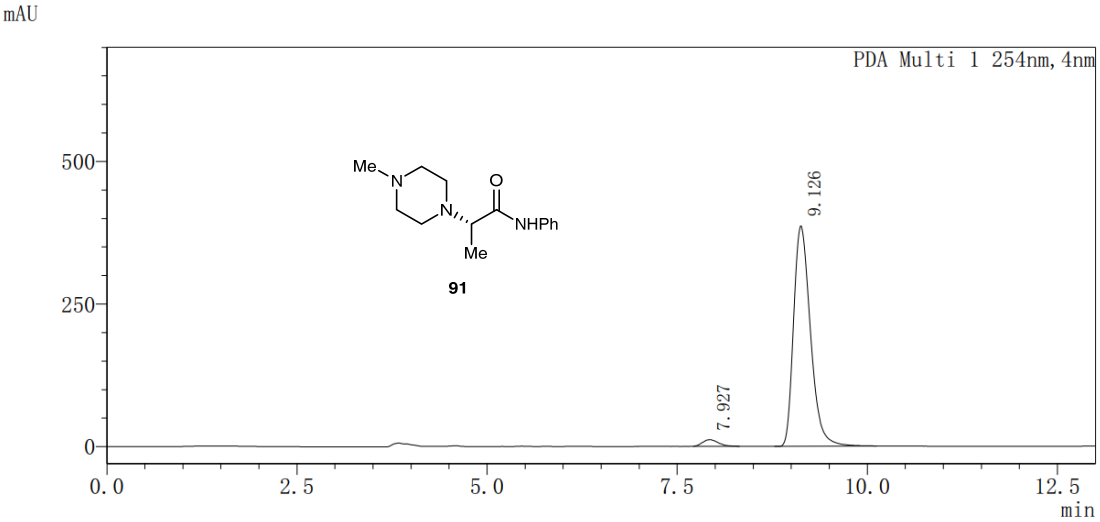
Peak#	Ret. Time	Area	Area%
1	15.226	31072824	96.086
2	16.536	1265733	3.914



Peak Table

PDA Ch1 254nm

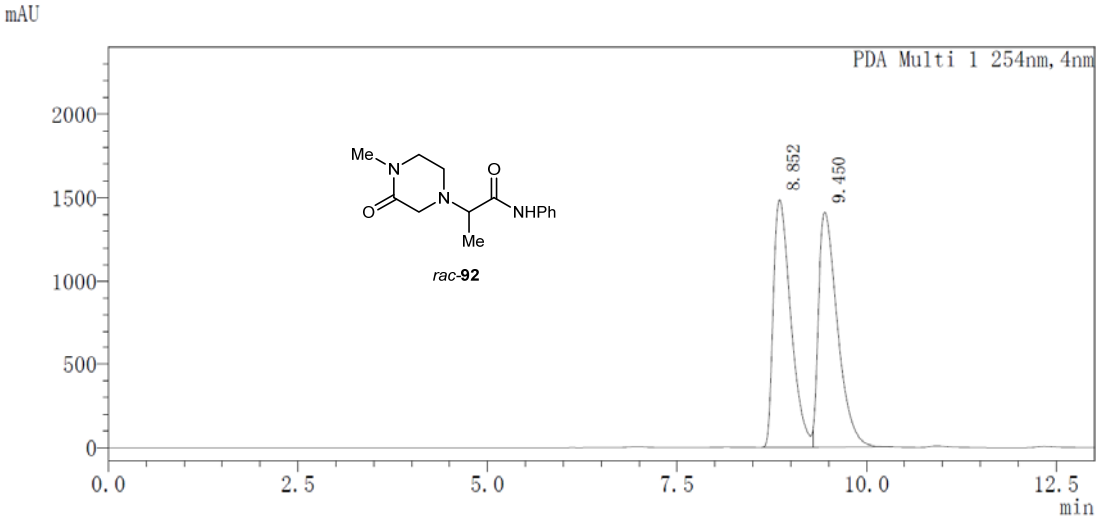
Peak#	Ret. Time	Area	Area%
1	7.923	2094990	49.289
2	9.150	2155472	50.711



Peak Table

PDA Ch1 254nm

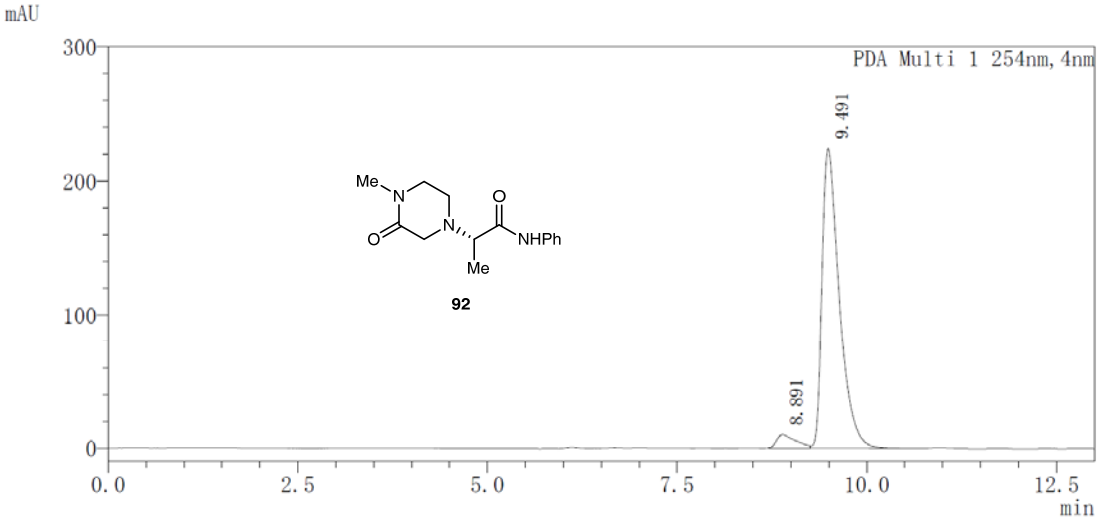
Peak#	Ret. Time	Area	Area%
1	7.927	165086	2.688
2	9.126	5976254	97.312



Peak Table

PDA Ch1 254nm

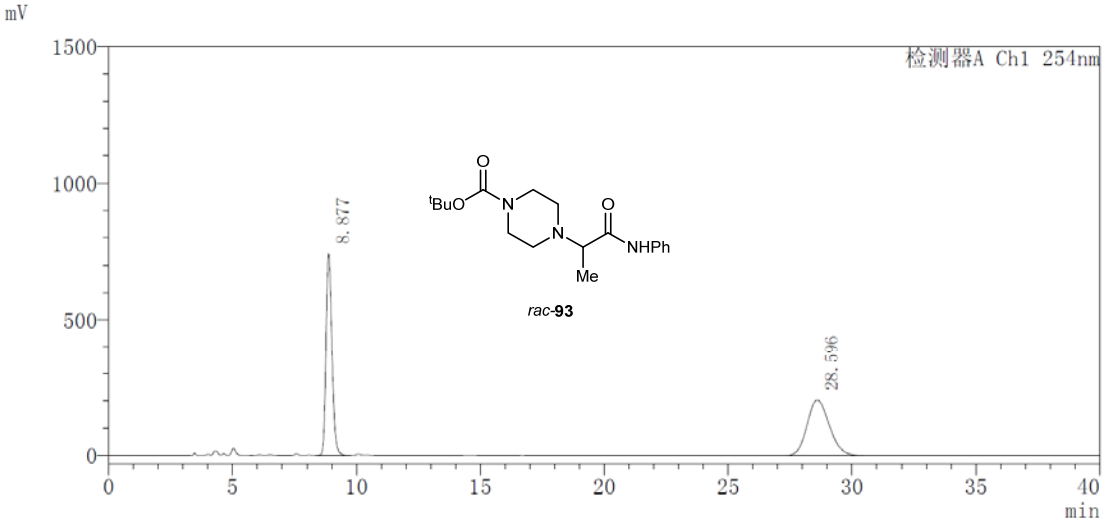
Peak#	Ret. Time	Area	Area%
1	8.852	23463355	49.440
2	9.450	23995086	50.560



Peak Table

PDA Ch1 254nm

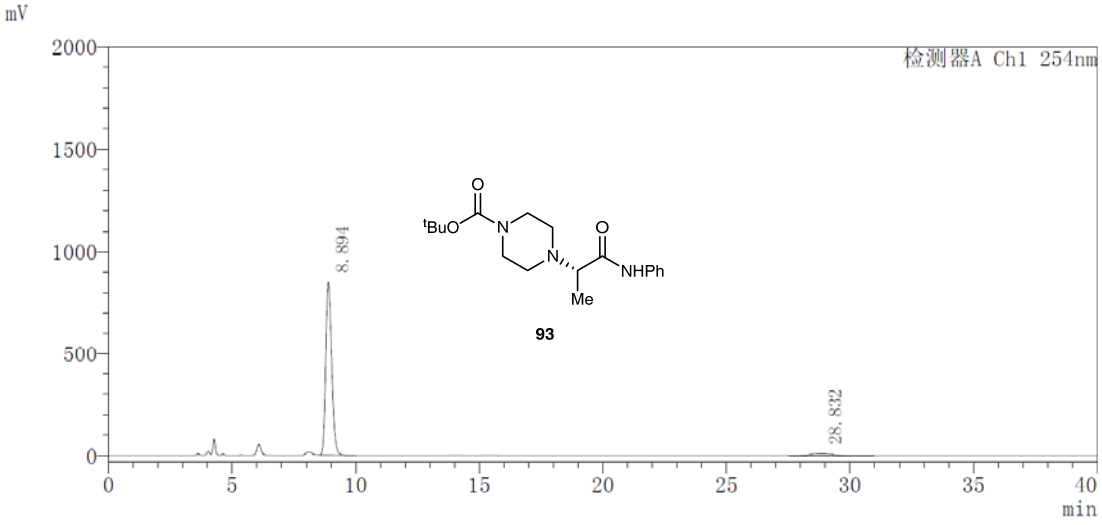
Peak#	Ret. Time	Area	Area%
1	8.891	176498	4.821
2	9.491	3484675	95.179



Peak Table

检测器A Ch1 254nm

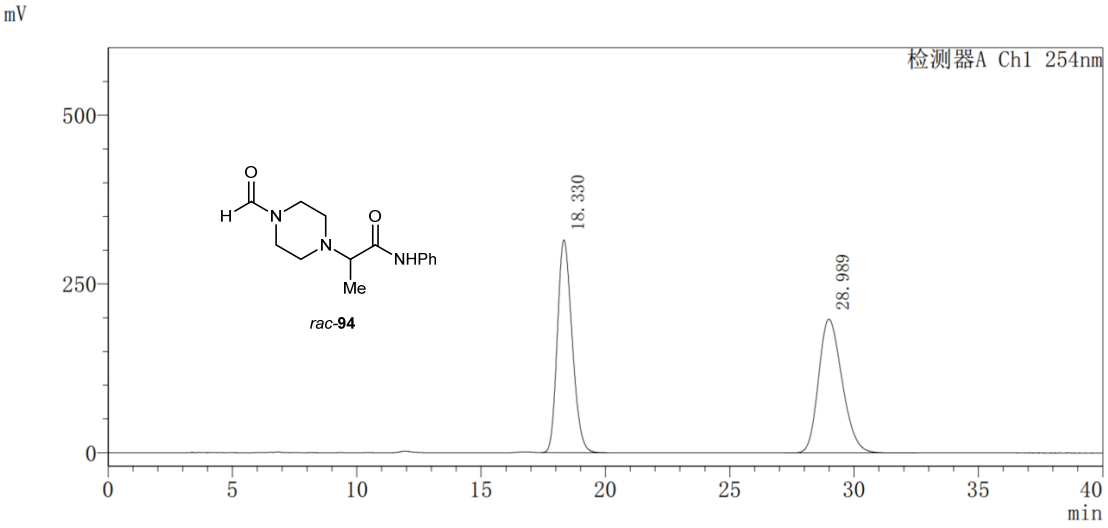
Peak#	Ret. Time	Area	Area%
1	8.877	12438915	49.743
2	28.596	12567415	50.257



Peak Table

检测器A Ch1 254nm

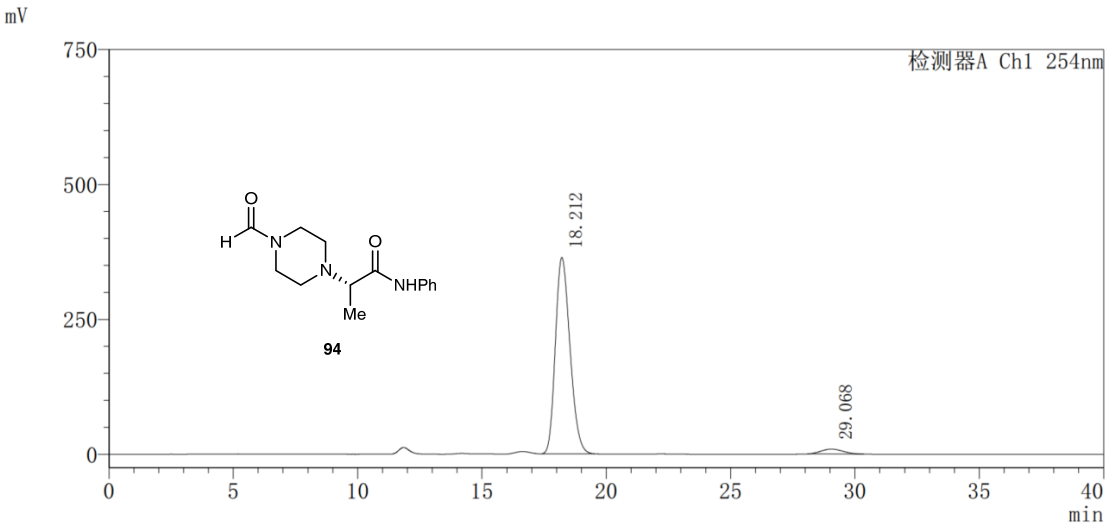
Peak#	Ret. Time	Area	Area%
1	8.894	14264299	95.928
2	28.832	605509	4.072



Peak Table

检测器A Ch1 254nm

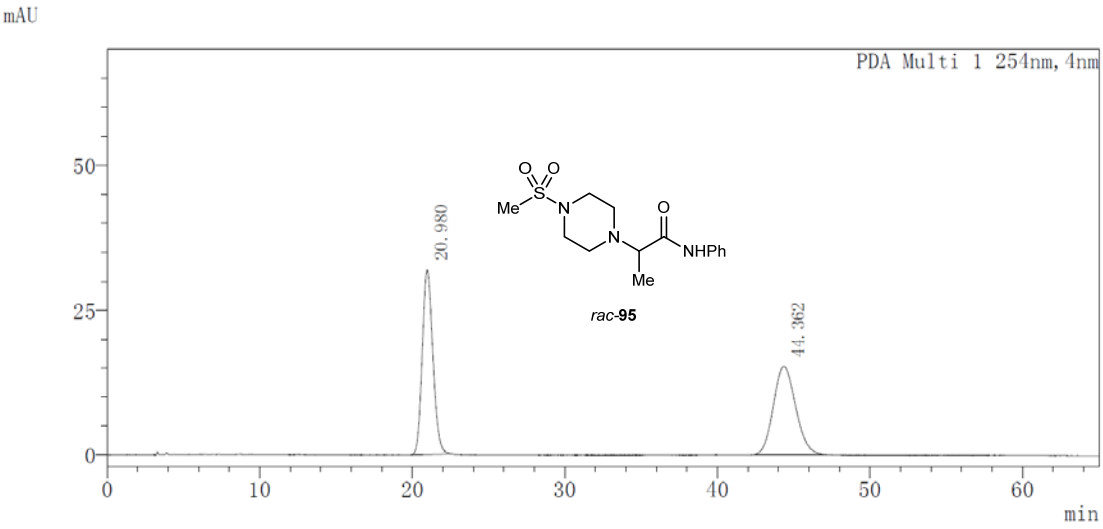
Peak#	Ret. Time	Area	Area%
1	18.330	12979518	49.837
2	28.989	13064186	50.163



Peak Table

检测器A Ch1 254nm

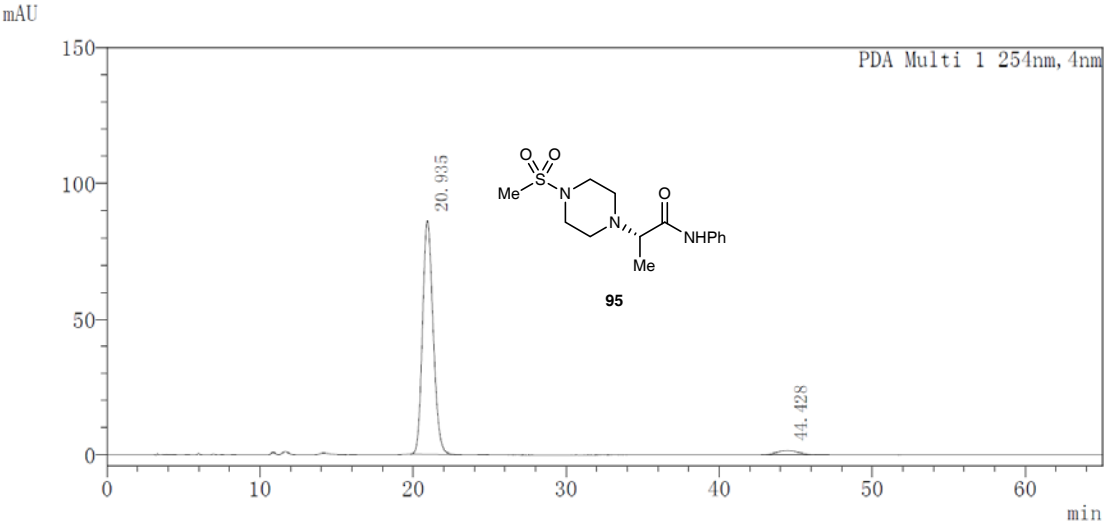
Peak#	Ret. Time	Area	Area%
1	18.212	14833675	96.357
2	29.068	560794	3.643



Peak Table

PDA Ch1 254nm

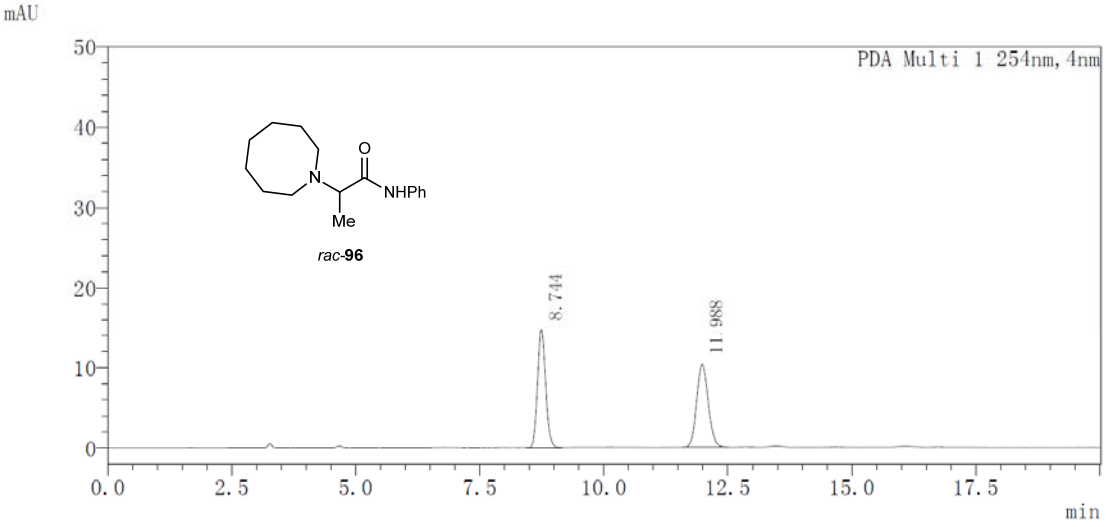
Peak#	Ret. Time	Area	Area%
1	20.980	1544674	50.282
2	44.362	1527332	49.718



Peak Table

PDA Ch1 254nm

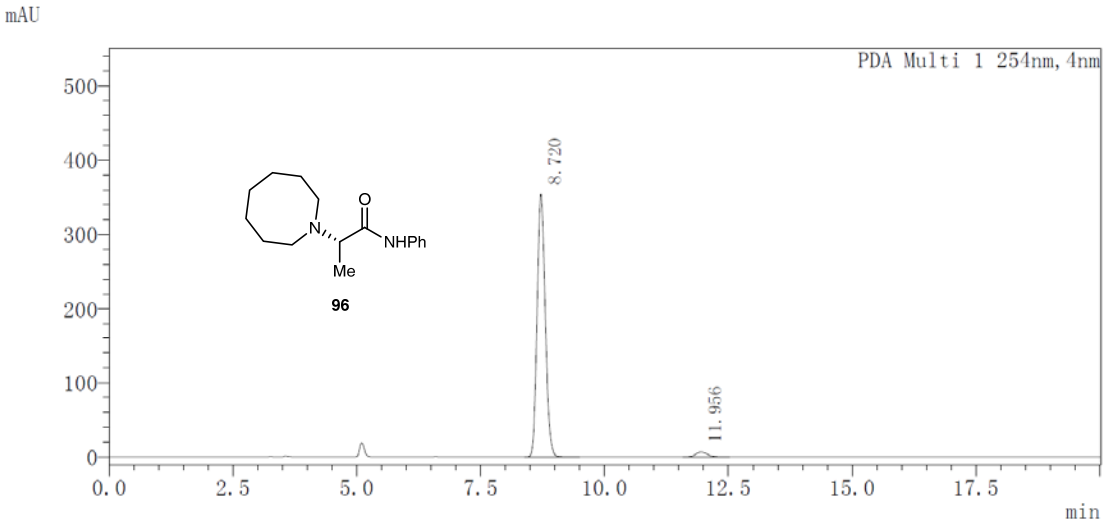
Peak#	Ret. Time	Area	Area%
1	20.935	4143233	96.325
2	44.428	158091	3.675



Peak Table

PDA Ch1 254nm

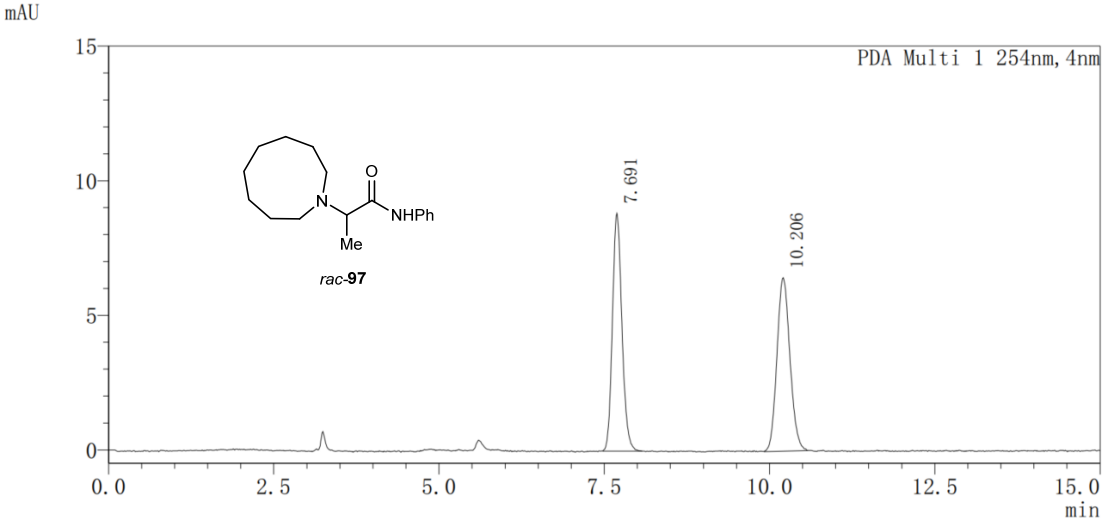
Peak#	Ret. Time	Area	Area%
1	8.744	167399	49.950
2	11.988	167736	50.050



Peak Table

PDA Ch1 254nm

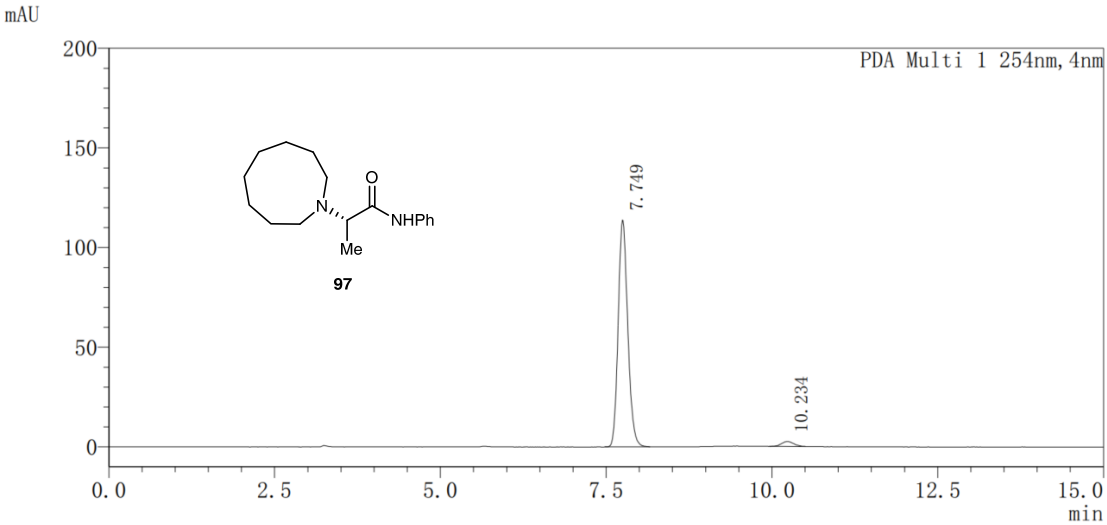
Peak#	Ret. Time	Area	Area%
1	8.720	4050948	97.248
2	11.956	114642	2.752



Peak Table

PDA Ch1 254nm

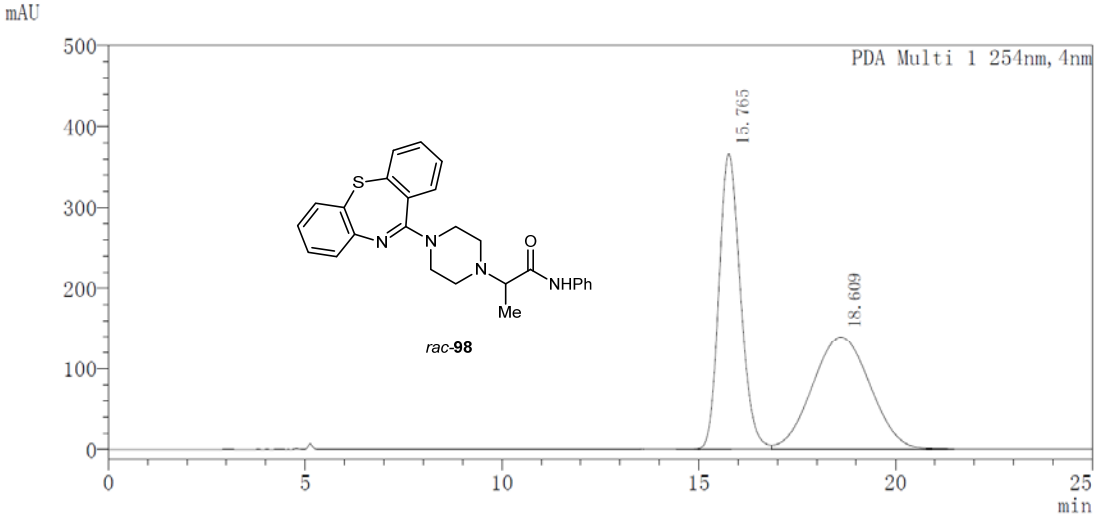
Peak#	Ret. Time	Area	Area%
1	7.691	88503	50.082
2	10.206	88214	49.918



Peak Table

PDA Ch1 254nm

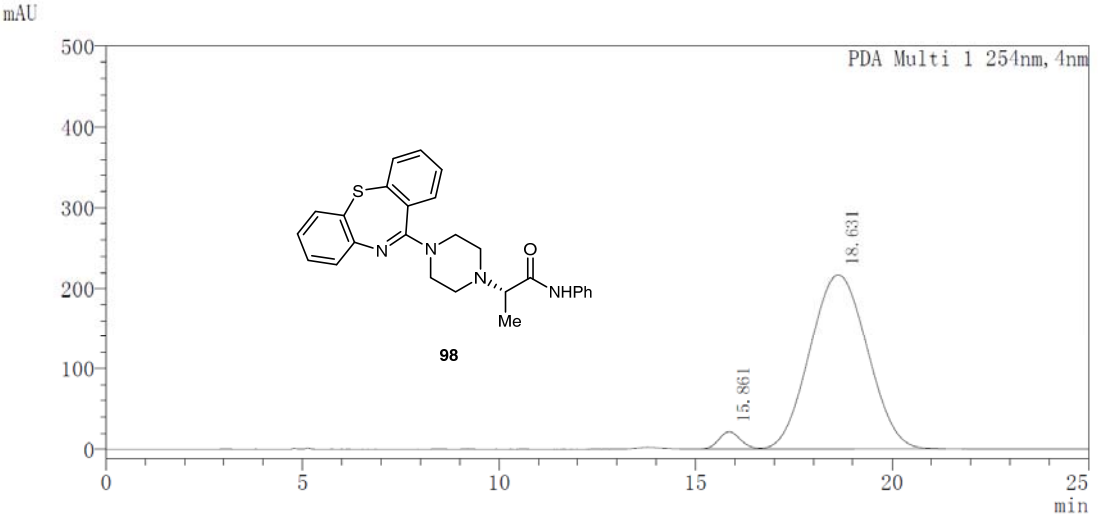
Peak#	Ret. Time	Area	Area%
1	7.749	1144599	97.318
2	10.234	31539	2.682



Peak Table

PDA Ch1 254nm

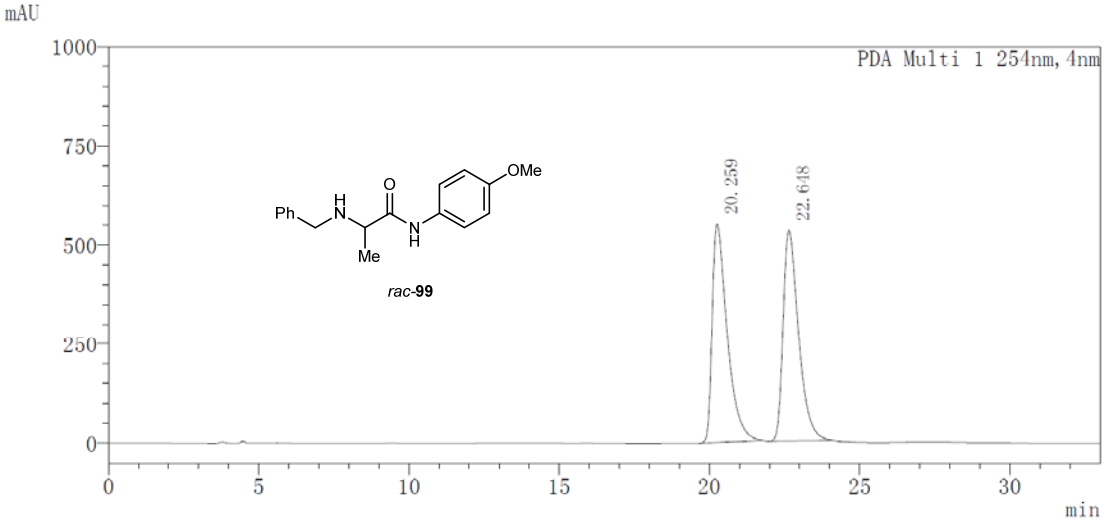
Peak#	Ret. Time	Area	Area%
1	15.765	13925837	49.675
2	18.609	14108315	50.325



Peak Table

PDA Ch1 254nm

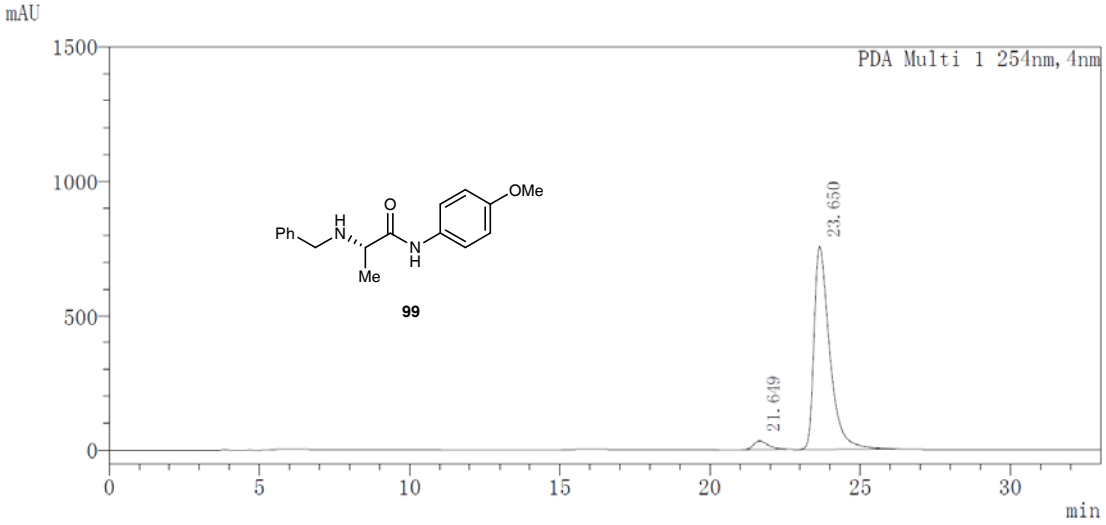
Peak#	Ret. Time	Area	Area%
1	15.861	826275	3.620
2	18.631	21995881	96.380



Peak Table

PDA Ch1 254nm

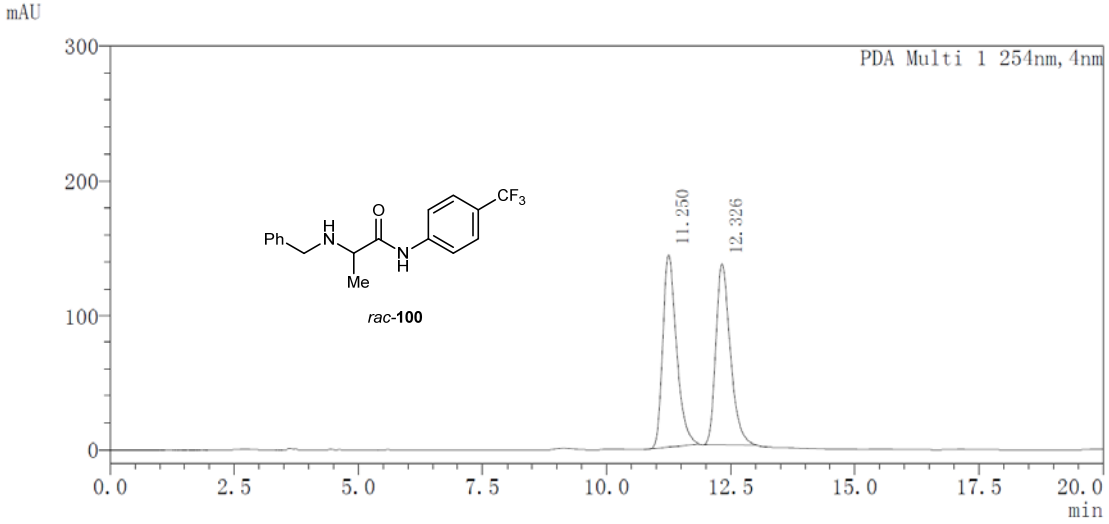
Peak#	Ret. Time	Area	Area%
1	20.259	19244018	50.224
2	22.648	19072528	49.776



Peak Table

PDA Ch1 254nm

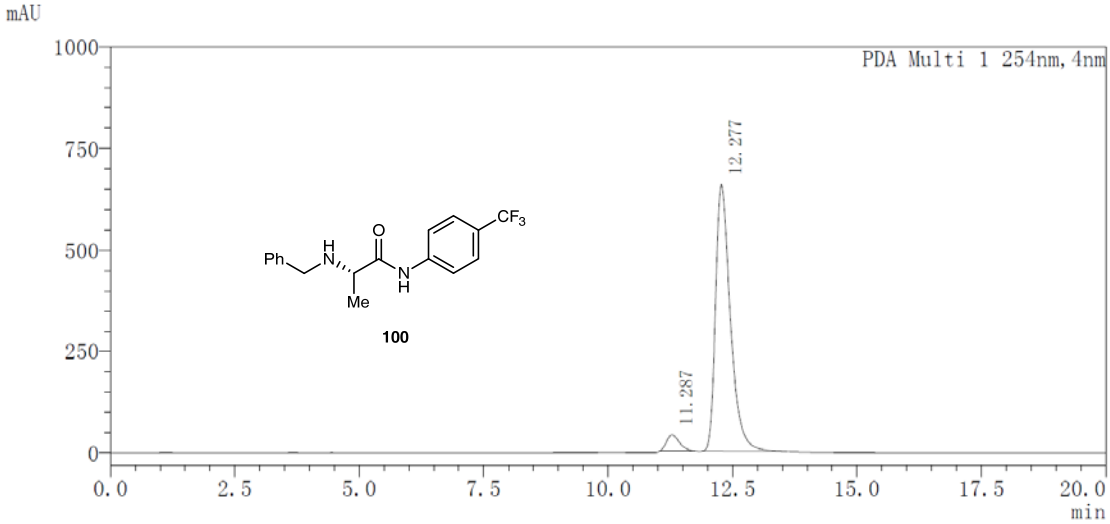
Peak#	Ret. Time	Area	Area%
1	21.649	1007908	3.564
2	23.650	27273249	96.436



Peak Table

PDA Ch1 254nm

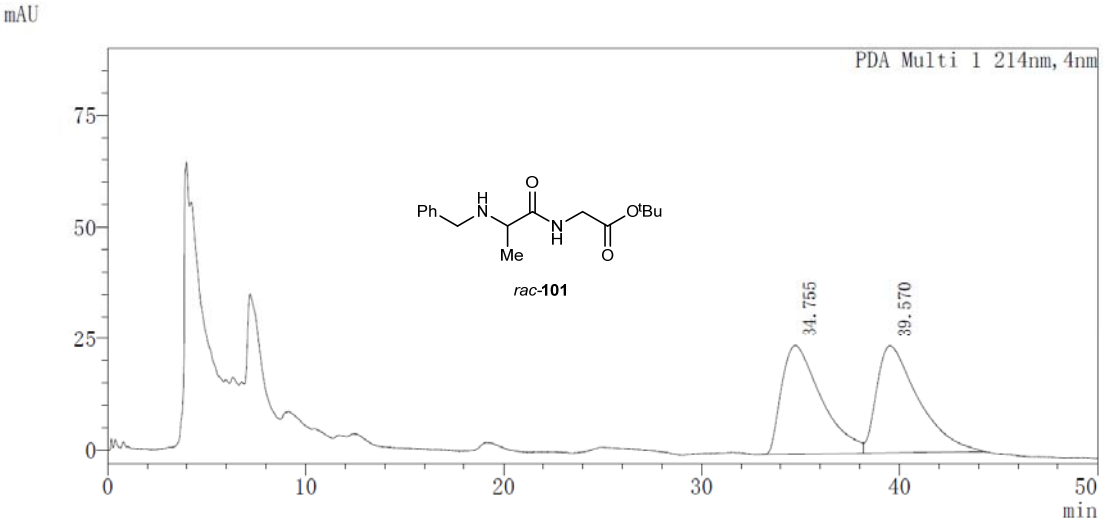
Peak#	Ret. Time	Area	Area%
1	11.250	2765492	50.139
2	12.326	2750125	49.861



Peak Table

PDA Ch1 254nm

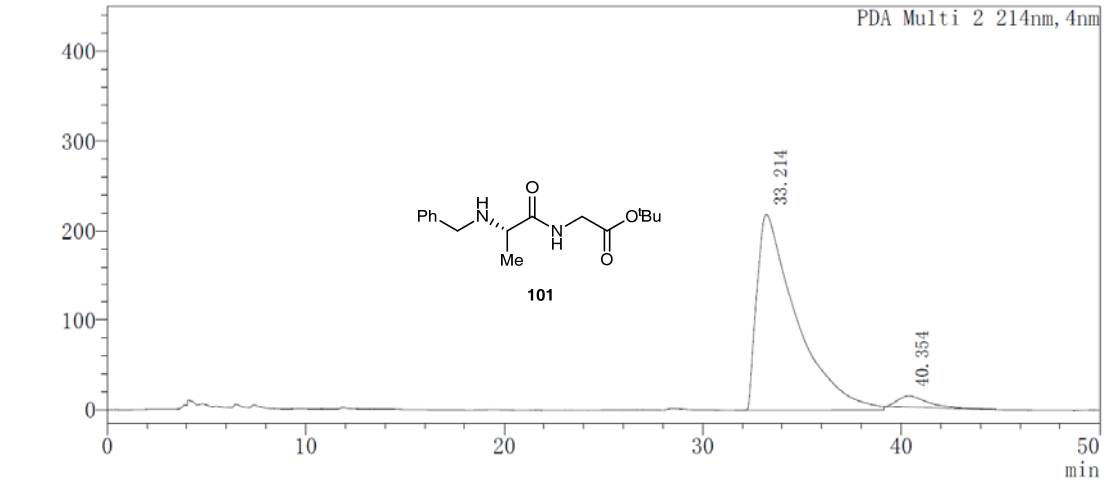
Peak#	Ret. Time	Area	Area%
1	11.287	731610	5.078
2	12.277	13675036	94.922



Peak Table

PDA Ch1 214nm

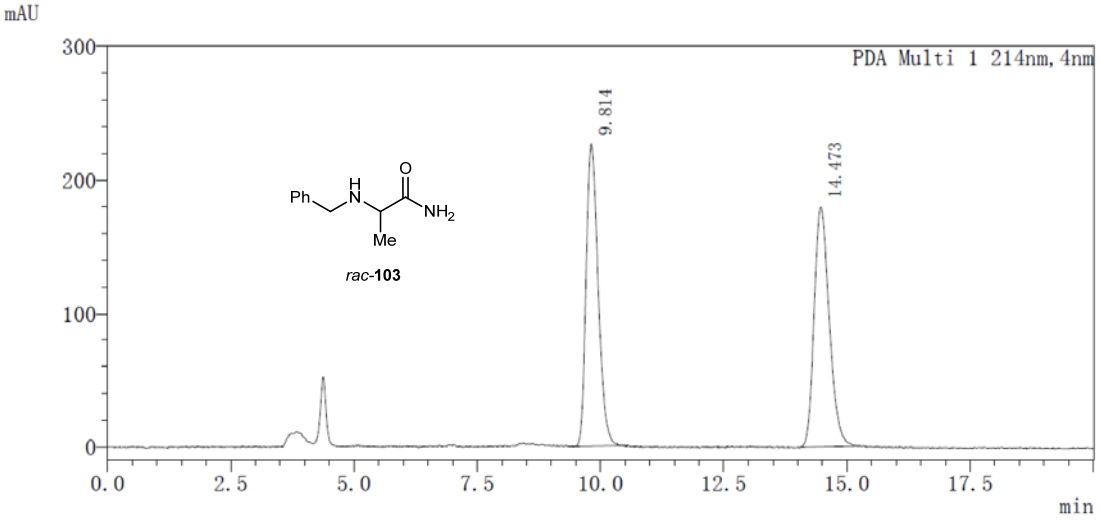
Peak#	Ret. Time	Area	Area%
1	34.755	3388981	49.197
2	39.570	3499564	50.803



Peak Table

PDA Ch2 214nm

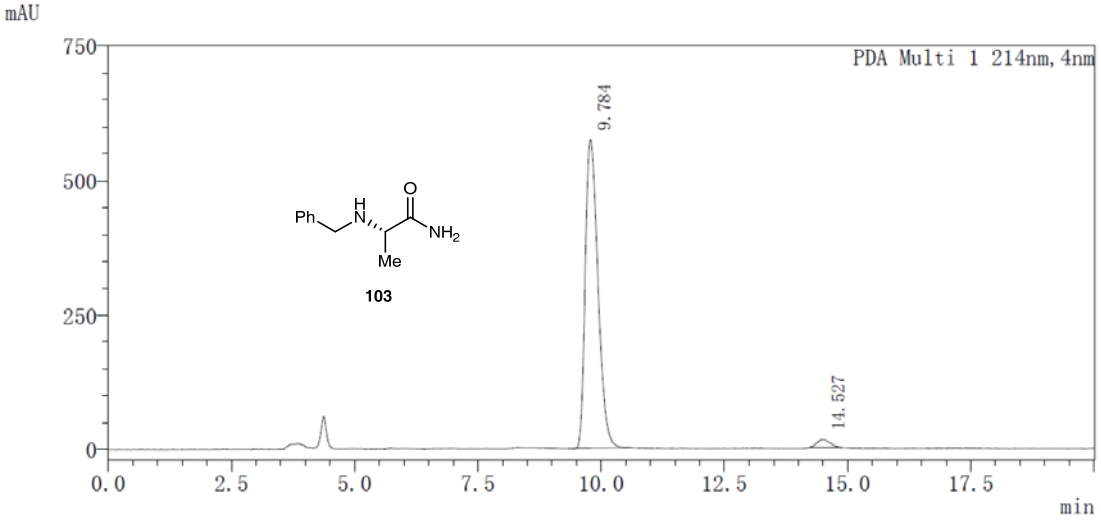
Peak#	Ret. Time	Area	Area%
1	33.214	30327644	95.894
2	40.354	1298576	4.106



Peak Table

PDA Ch1 214nm

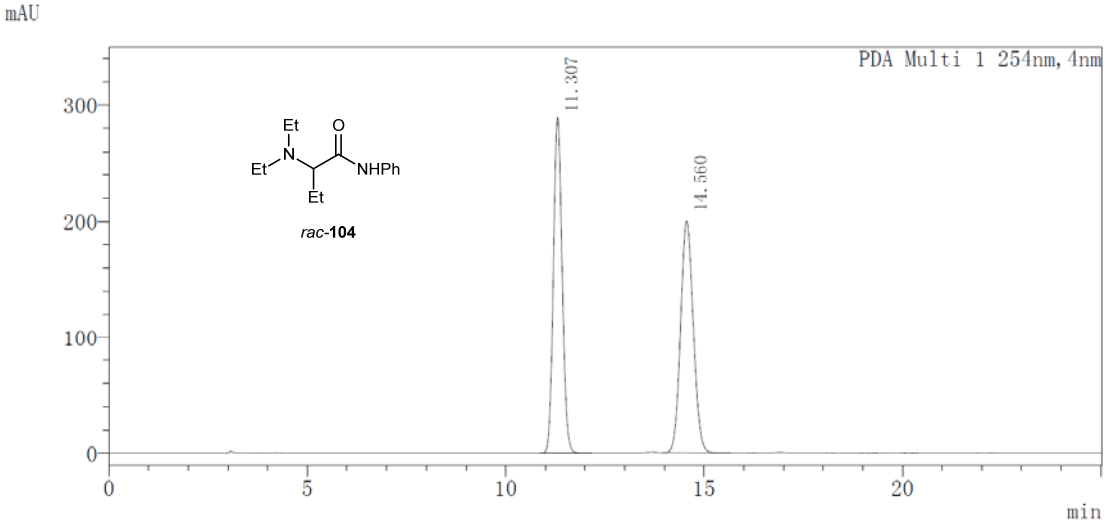
Peak#	Ret. Time	Area	Area%
1	9.814	3832729	49.974
2	14.473	3836736	50.026



Peak Table

PDA Ch1 214nm

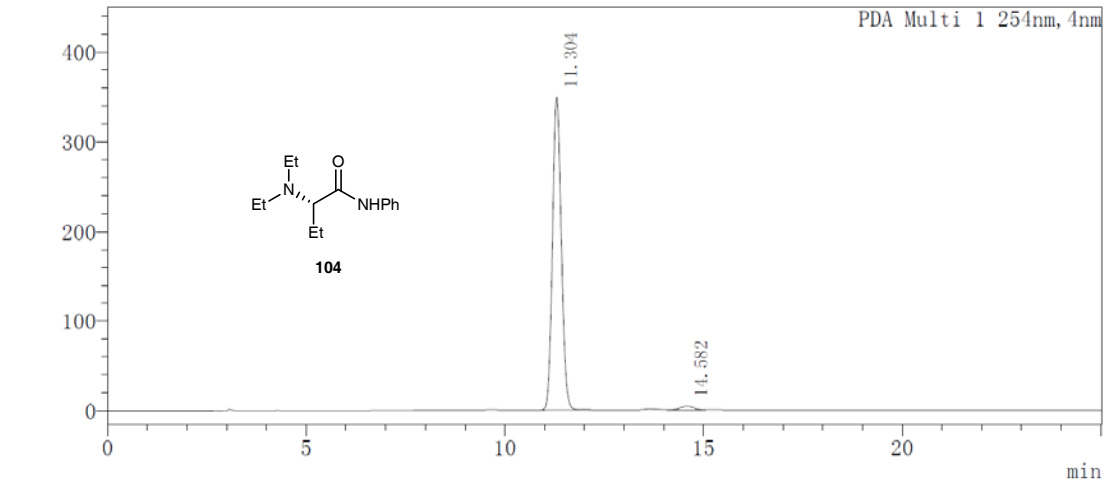
Peak#	Ret. Time	Area	Area%
1	9.784	10283348	97.314
2	14.527	283844	2.686



Peak Table

PDA Ch1 254nm

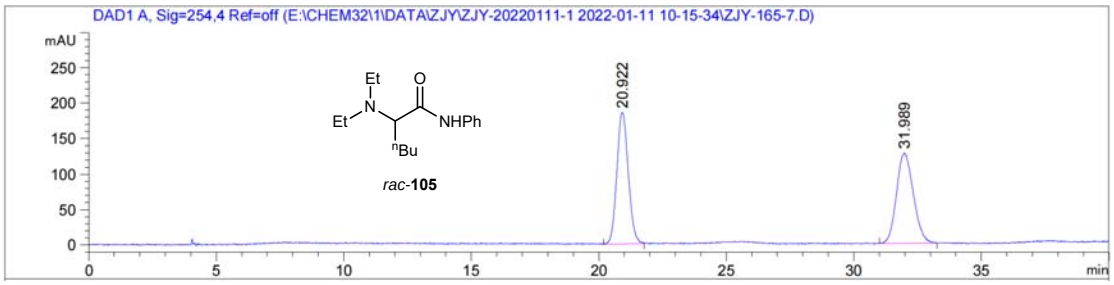
Peak#	Ret. Time	Area	Area%
1	11.307	4449423	49.998
2	14.560	4449789	50.002



Peak Table

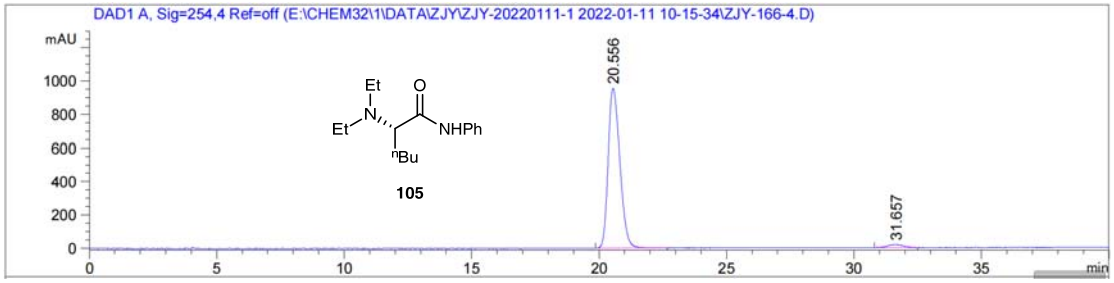
PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.304	5387567	98.193
2	14.582	99139	1.807



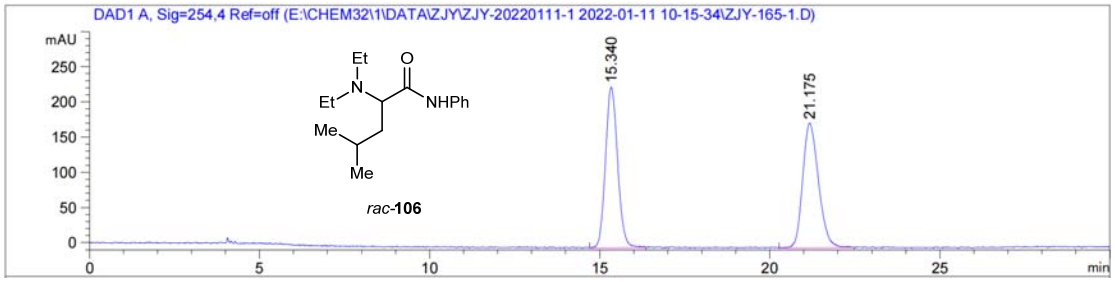
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.922	BV R	0.4596	5770.98486	185.16542	49.5125
2	31.989	VV R	0.5554	5884.63379	127.74808	50.4875
Totals :				1.16556e4	312.91350	



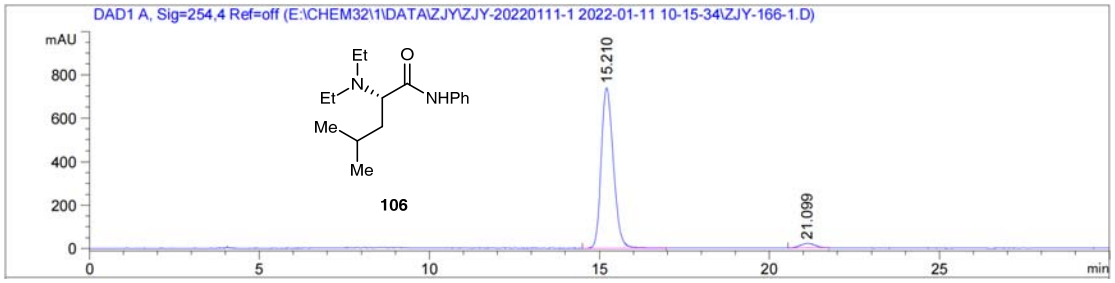
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.556	MM R	0.5320	3.04835e4	954.95331	97.3162
2	31.657	MM R	0.7351	840.66663	19.06111	2.6838
Totals :				3.13241e4	974.01442	



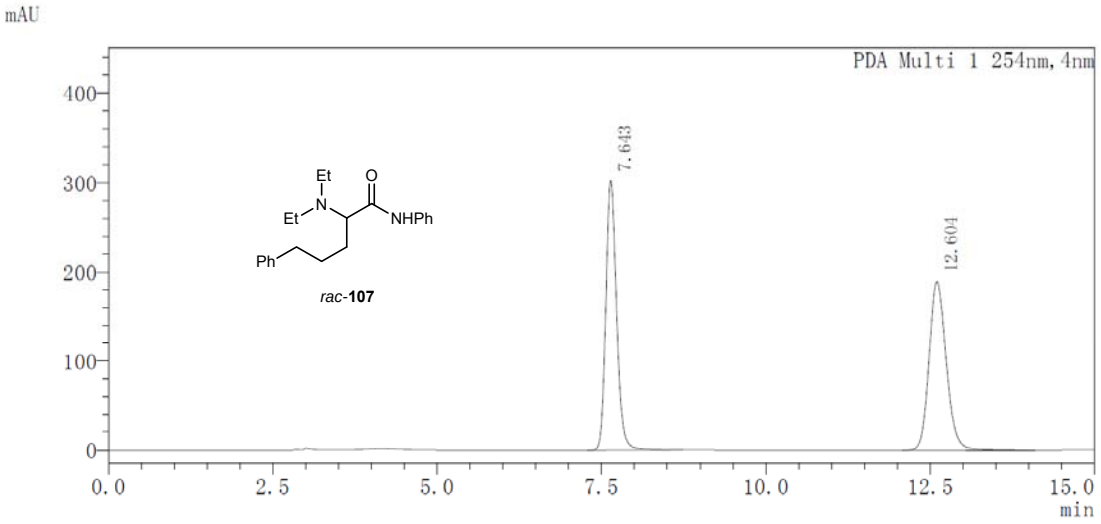
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.340	BV R	0.3685	5650.48535	228.28624	49.7100
2	21.175	VV R	0.4521	5716.40967	177.10173	50.2900
Totals :				1.13669e4	405.38797	



Signal 1: DAD1 A, Sig=254,4 Ref=off

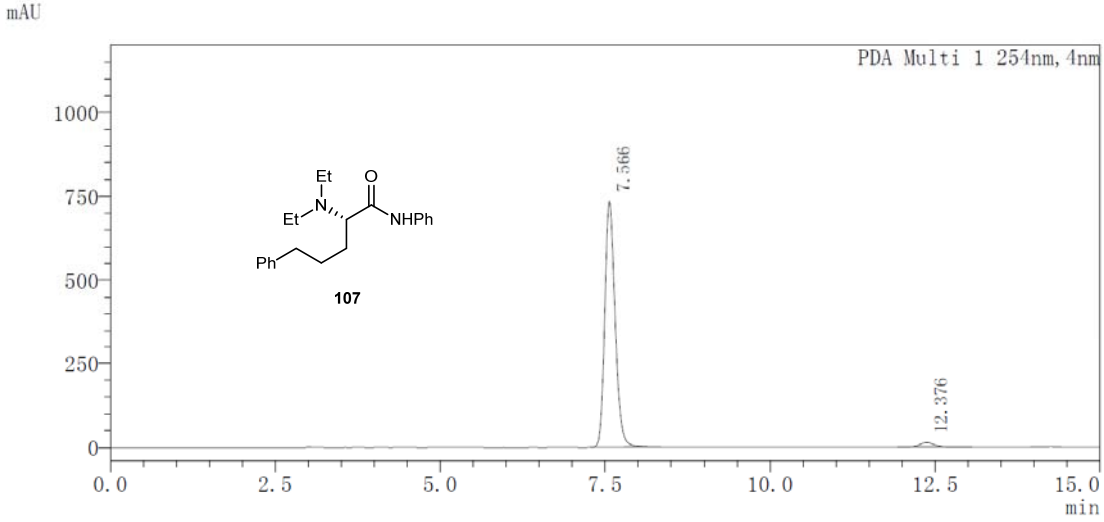
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.210	MM R	0.4151	1.84114e4	739.19263	96.6689
2	21.099	MM R	0.5093	634.44165	20.76370	3.3311
Totals :				1.90458e4	759.95633	



Peak Table

PDA Ch1 254nm

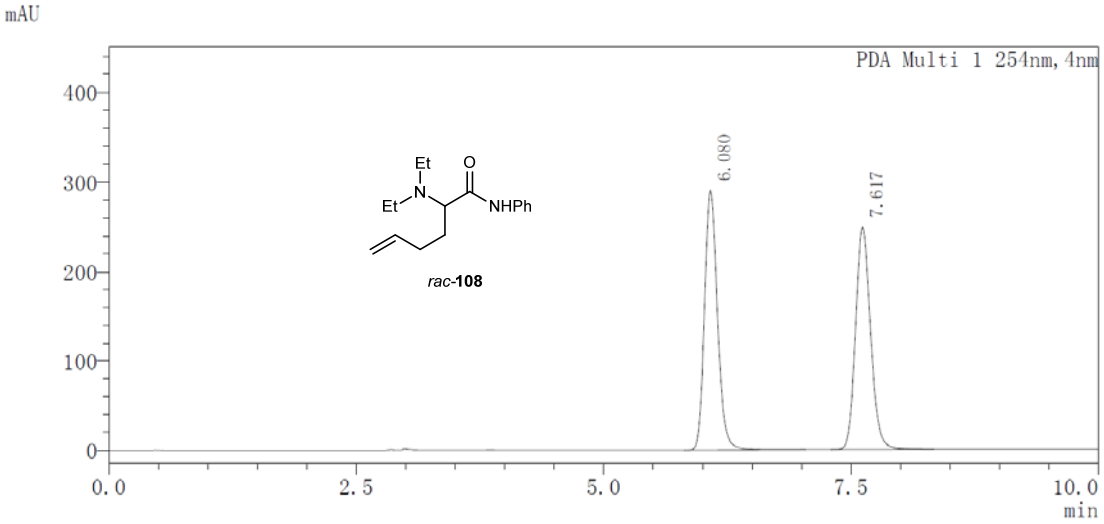
Peak#	Ret. Time	Area	Area%
1	7.643	3420372	49.843
2	12.604	3441875	50.157



Peak Table

PDA Ch1 254nm

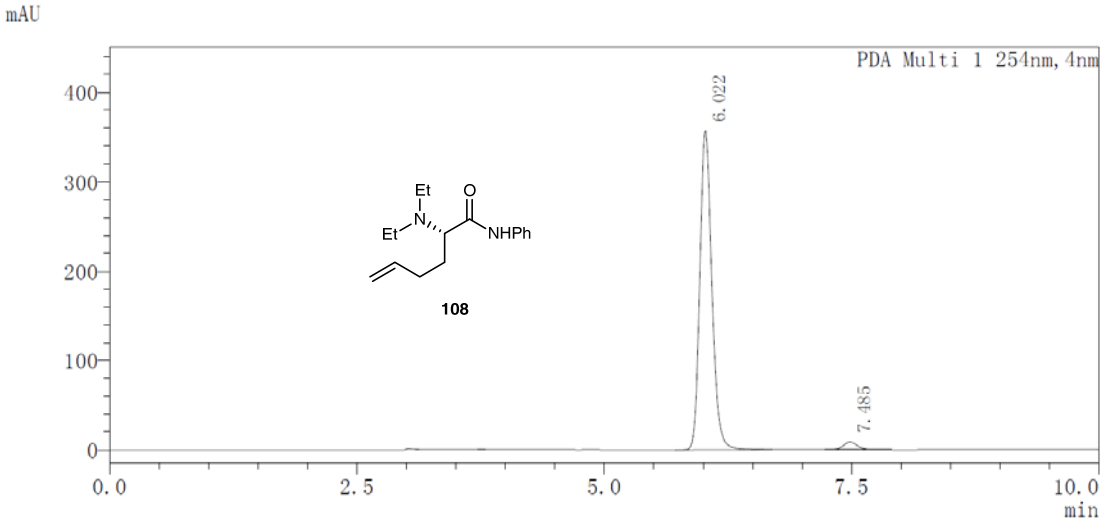
Peak#	Ret. Time	Area	Area%
1	7.566	8005948	97.205
2	12.376	230214	2.795



Peak Table

PDA Ch1 254nm

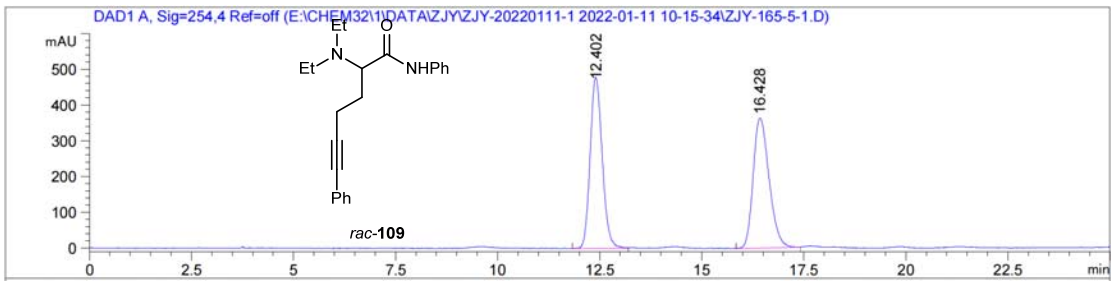
Peak#	Ret. Time	Area	Area%
1	6.080	2648168	50.113
2	7.617	2636185	49.887



Peak Table

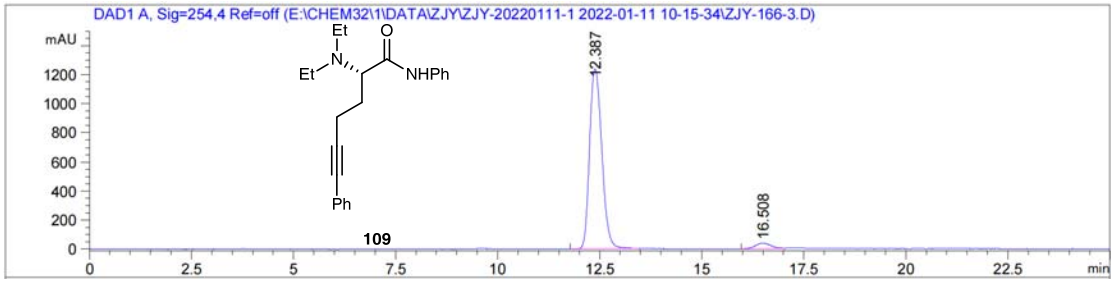
PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.022	3019042	97.279
2	7.485	84447	2.721



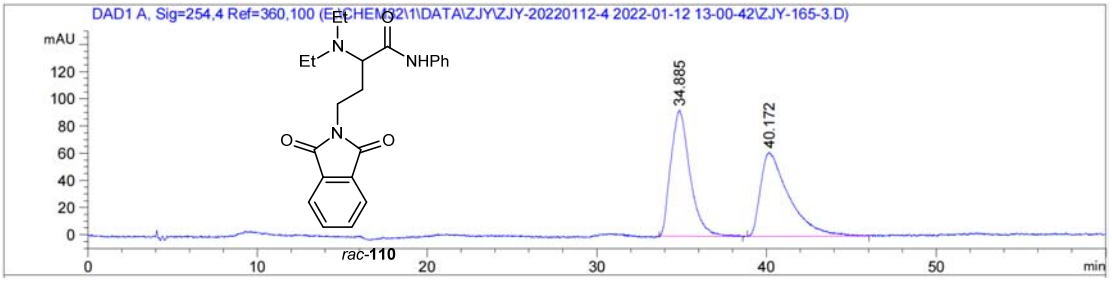
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.402	BV R	0.3168	9789.81348	478.21973	49.9561
2	16.428	BV R	0.4108	9807.02832	363.50250	50.0439
Totals :				1.95968e4	841.72223	



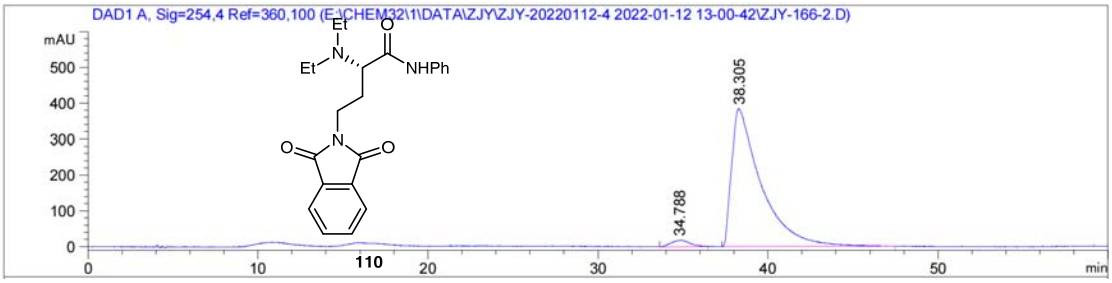
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.387	VV R	0.3250	2.57855e4	1237.81445	96.4664
2	16.508	BB	0.3057	944.53394	37.52529	3.5336
Totals :				2.67300e4	1275.33974	



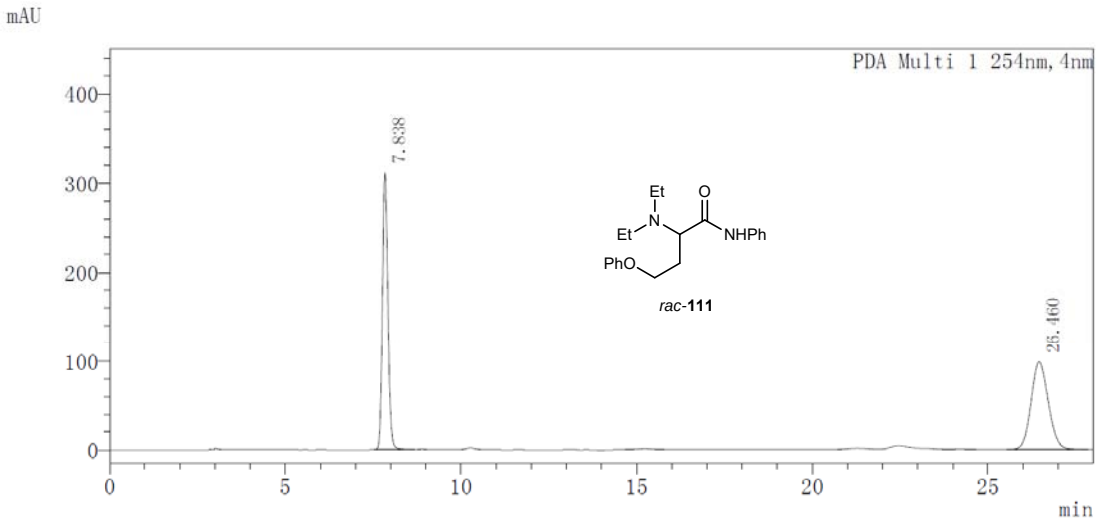
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	34.885	MM R	1.3089	7283.23486	92.74132	50.1853
2	40.172	MM R	1.9418	7229.45703	62.05247	49.8147
Totals :				1.45127e4	154.79379	



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

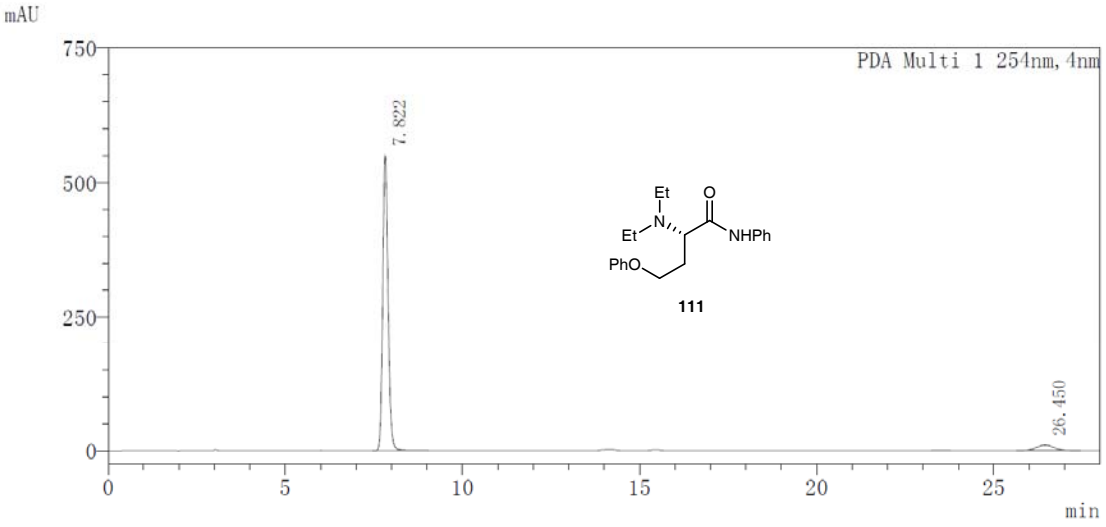
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	34.788	MM R	1.2517	1396.15027	18.59076	2.9167
2	38.305	MM R	2.0142	4.64713e4	384.53253	97.0833
Totals :				4.78675e4	403.12329	



Peak Table

PDA Ch1 254nm

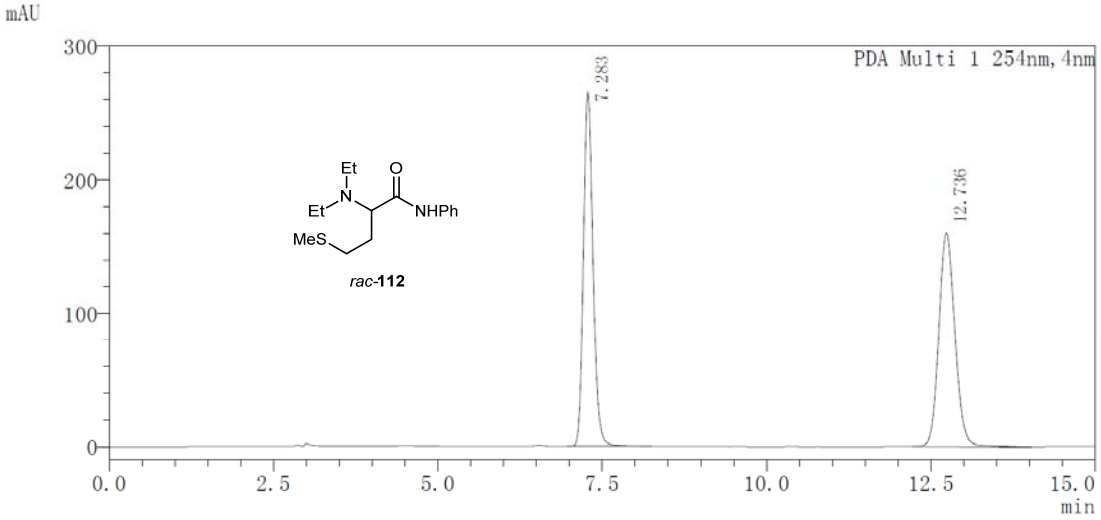
Peak#	Ret. Time	Area	Area%
1	7.838	3383405	49.974
2	26.460	3386924	50.026



Peak Table

PDA Ch1 254nm

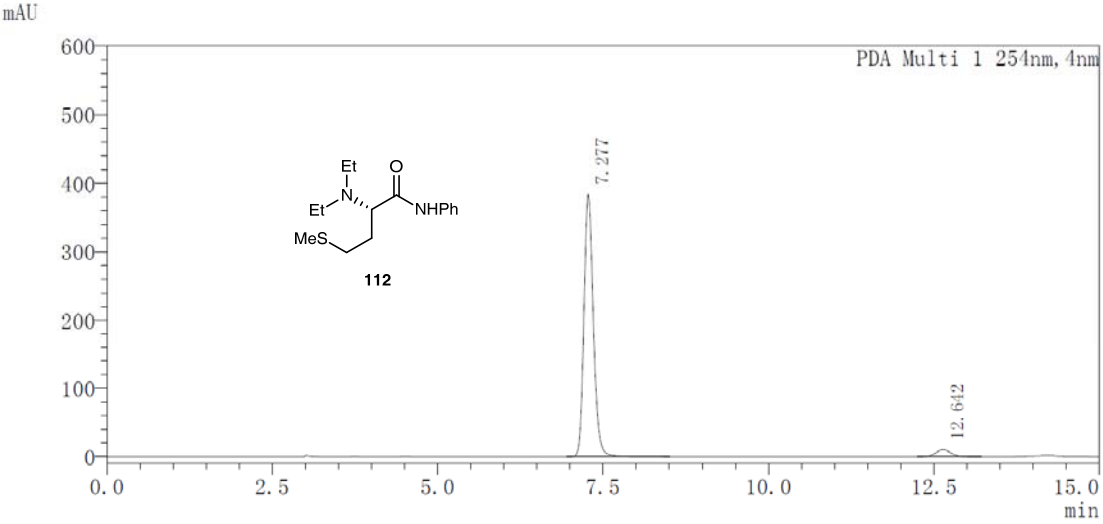
Peak#	Ret. Time	Area	Area%
1	7.822	5773138	94.310
2	26.450	348292	5.690



Peak Table

PDA Ch1 254nm

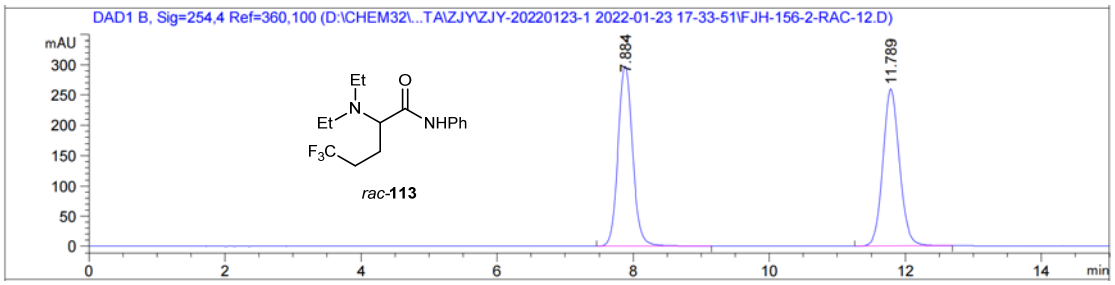
Peak#	Ret. Time	Area	Area%
1	7.283	2711116	49.879
2	12.736	2724269	50.121



Peak Table

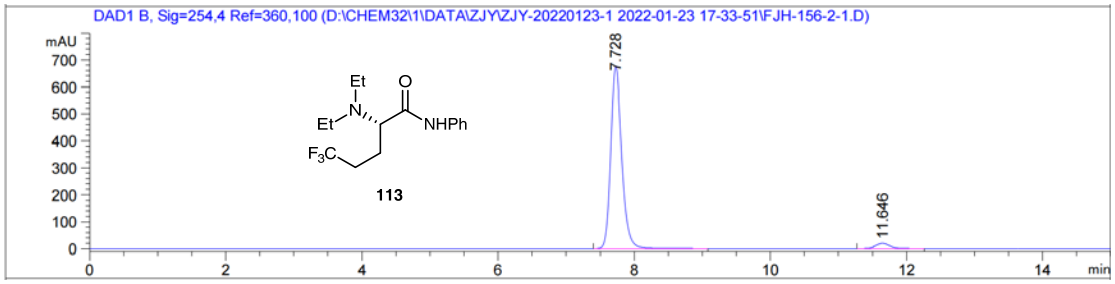
PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.277	3792837	95.966
2	12.642	159422	4.034



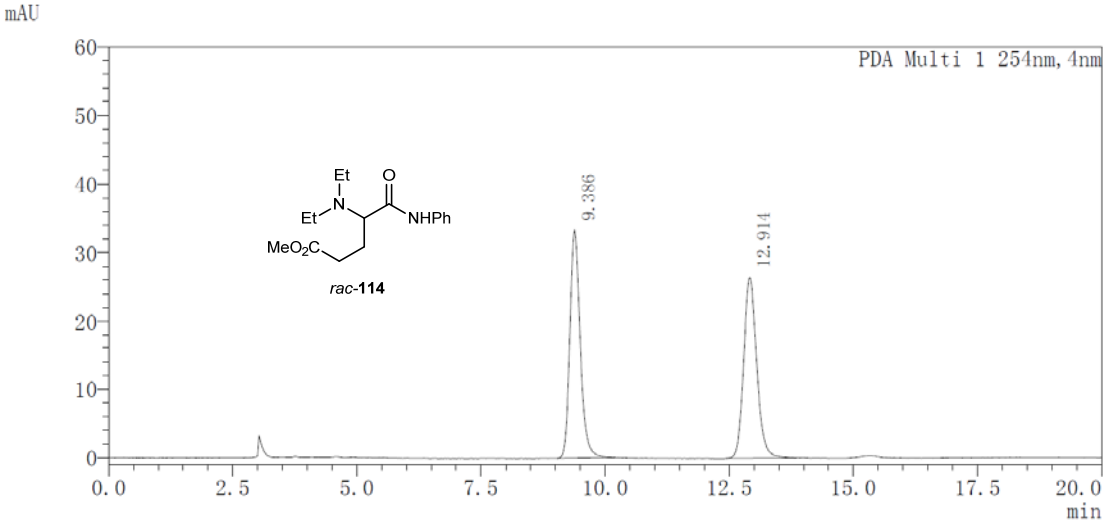
Signal 2: DAD1 B, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.884	BB	0.2317	4432.55029	297.66904	50.0920
2	11.789	BB	0.2604	4416.26904	259.71228	49.9080
Totals :				8848.81934	557.38132	



Signal 2: DAD1 B, Sig=254,4 Ref=360,100

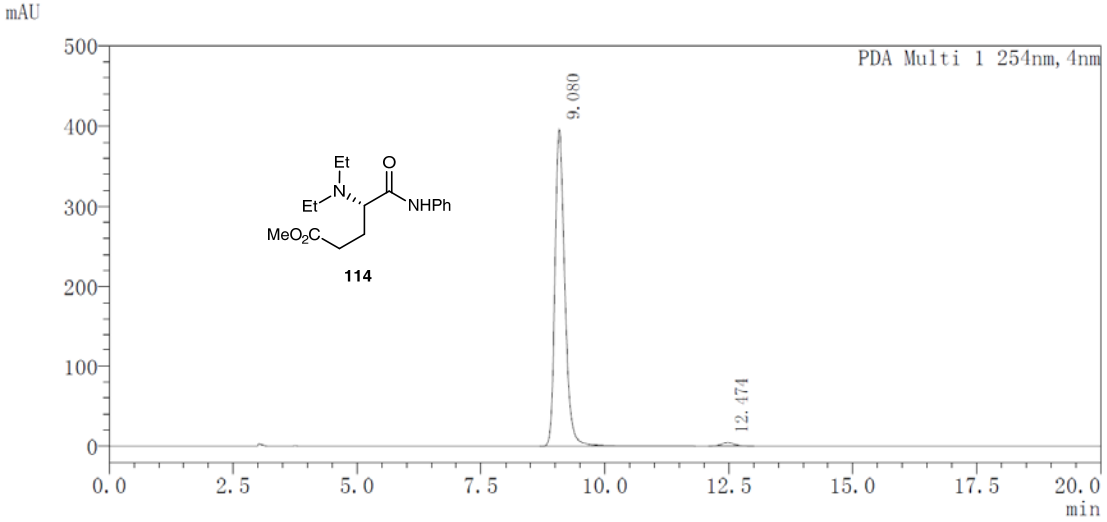
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.728	BB	0.1717	7628.06348	675.65509	96.3487
2	11.646	BB	0.2207	289.08072	19.99765	3.6513
Totals :				7917.14420	695.65274	



Peak Table

PDA Ch1 254nm

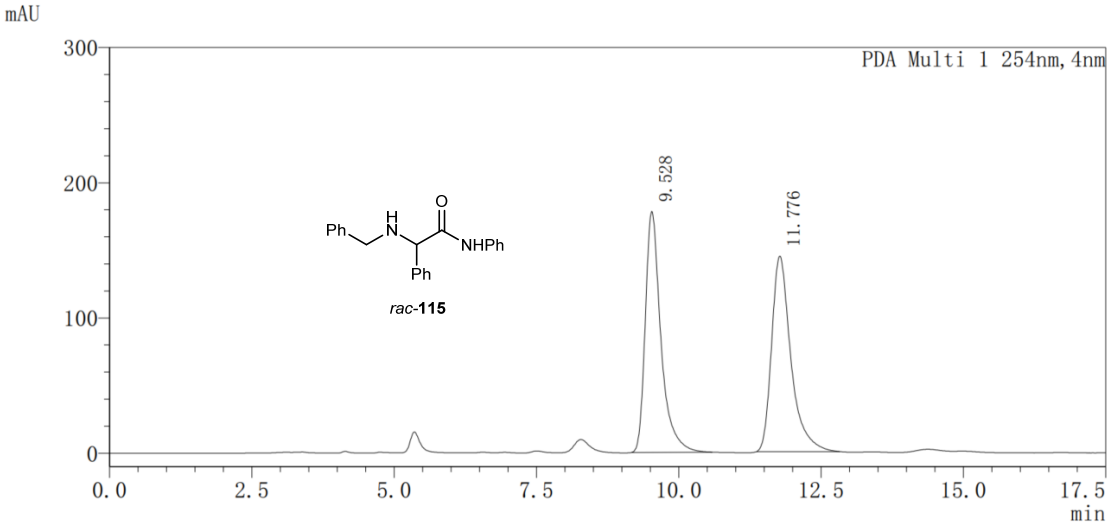
Peak#	Ret. Time	Area	Area%
1	9.386	485202	50.066
2	12.914	483925	49.934



Peak Table

PDA Ch1 254nm

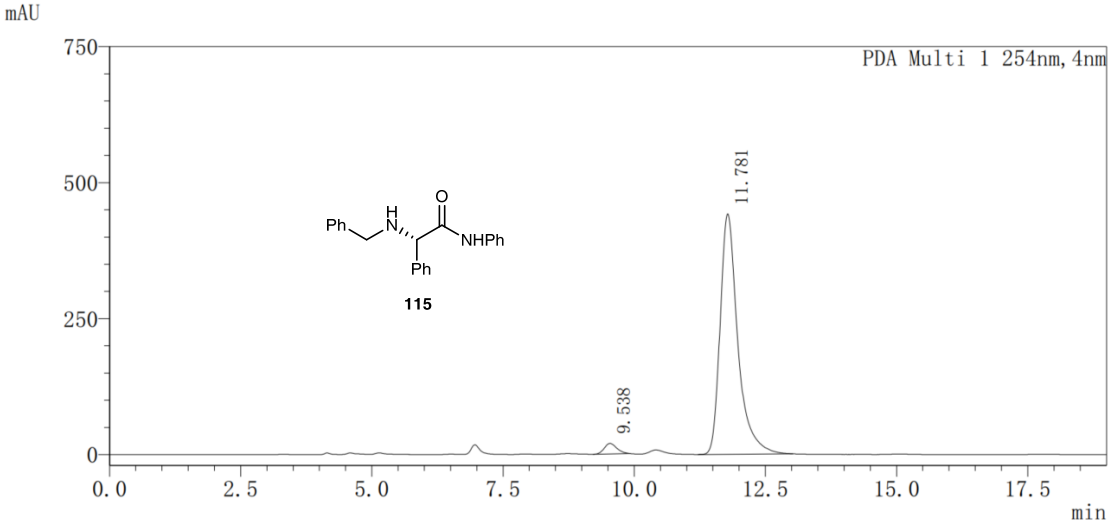
Peak#	Ret. Time	Area	Area%
1	9.080	5471343	98.637
2	12.474	75616	1.363



Peak Table

PDA Ch1 254nm

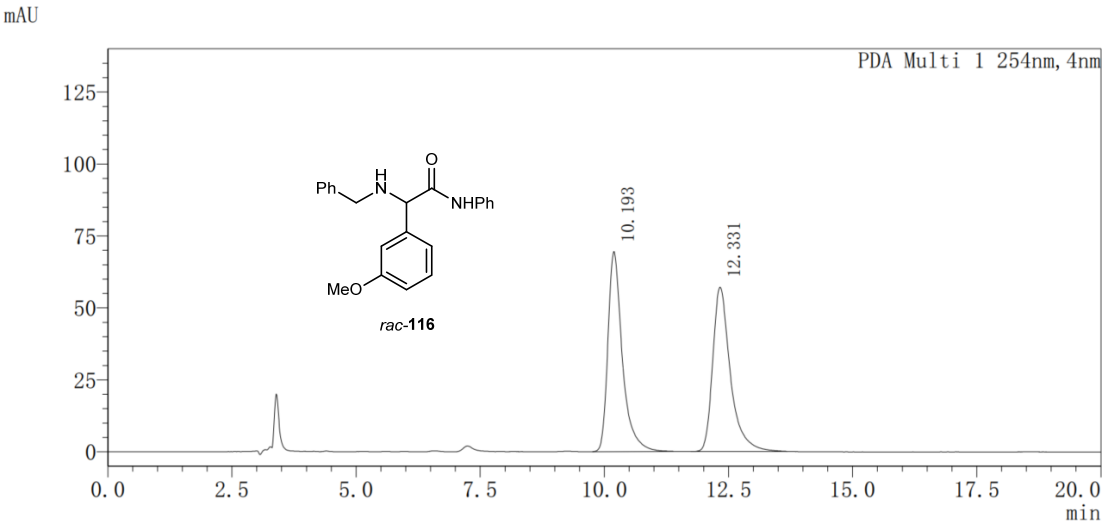
Peak#	Ret. Time	Area	Area%
1	9.528	3401783	49.966
2	11.776	3406451	50.034



Peak Table

PDA Ch1 254nm

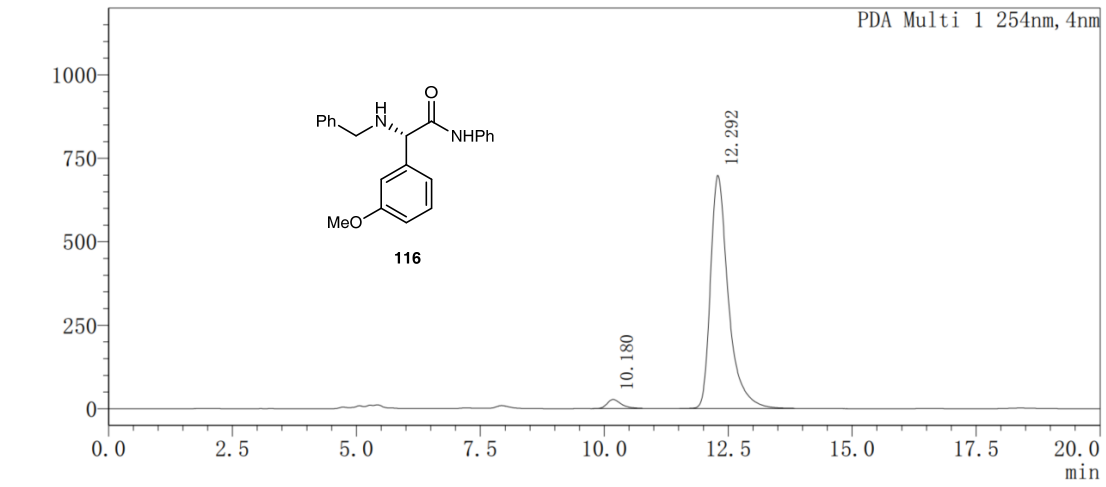
Peak#	Ret. Time	Area	Area%
1	9.538	326486	3.054
2	11.781	10365105	96.946



Peak Table

PDA Ch1 254nm

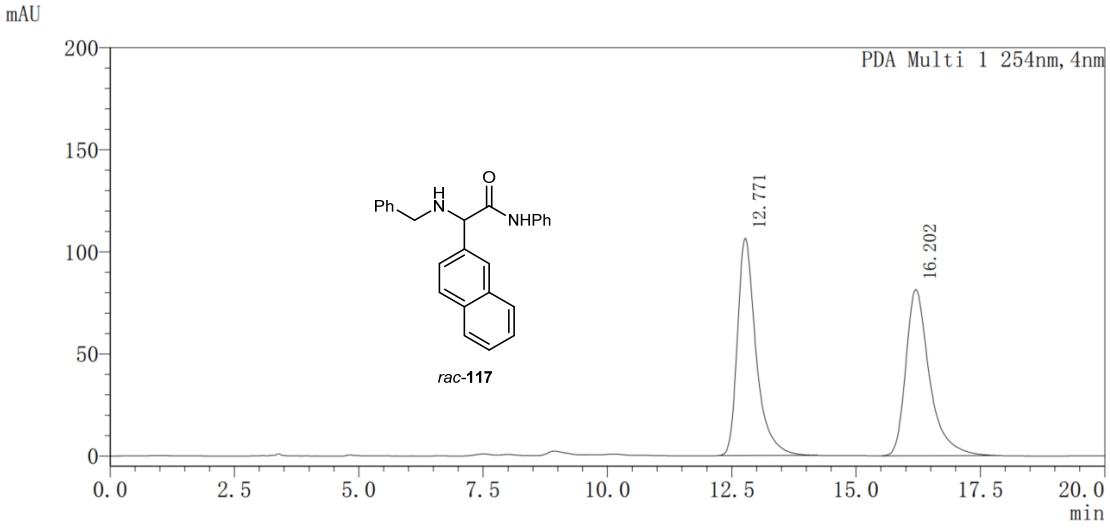
Peak#	Ret. Time	Area	Area%
1	10.193	1404887	49.876
2	12.331	1411890	50.124



Peak Table

PDA Ch1 254nm

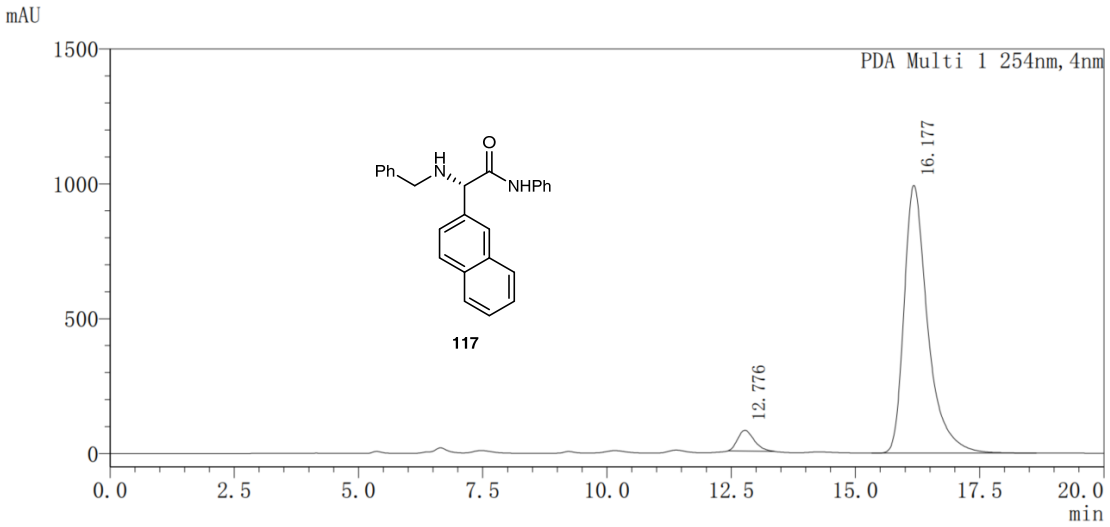
Peak#	Ret. Time	Area	Area%
1	10.180	533215	2.950
2	12.292	17543061	97.050



Peak Table

PDA Ch1 254nm

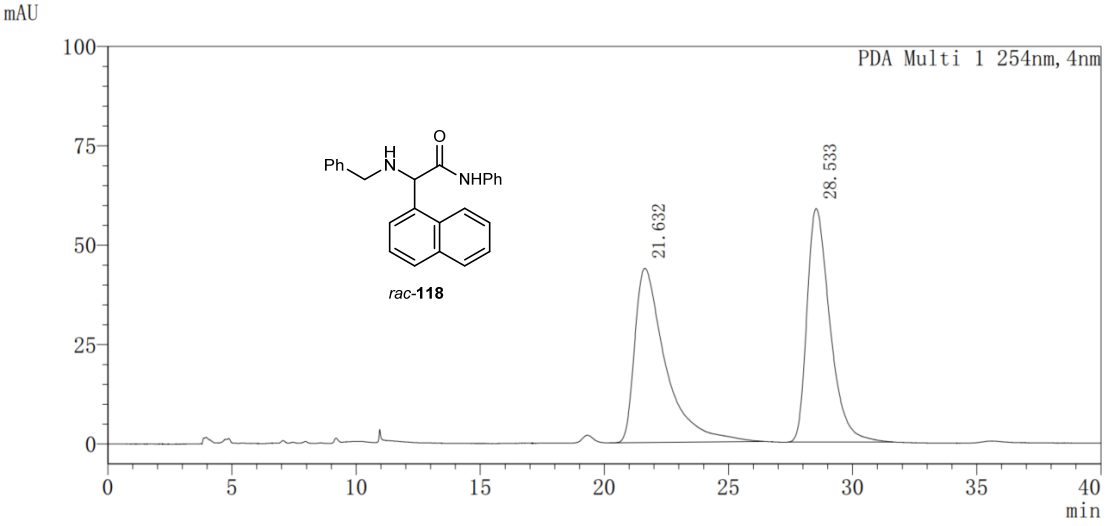
Peak#	Ret. Time	Area	Area%
1	12.771	2781684	50.711
2	16.202	2703708	49.289



Peak Table

PDA Ch1 254nm

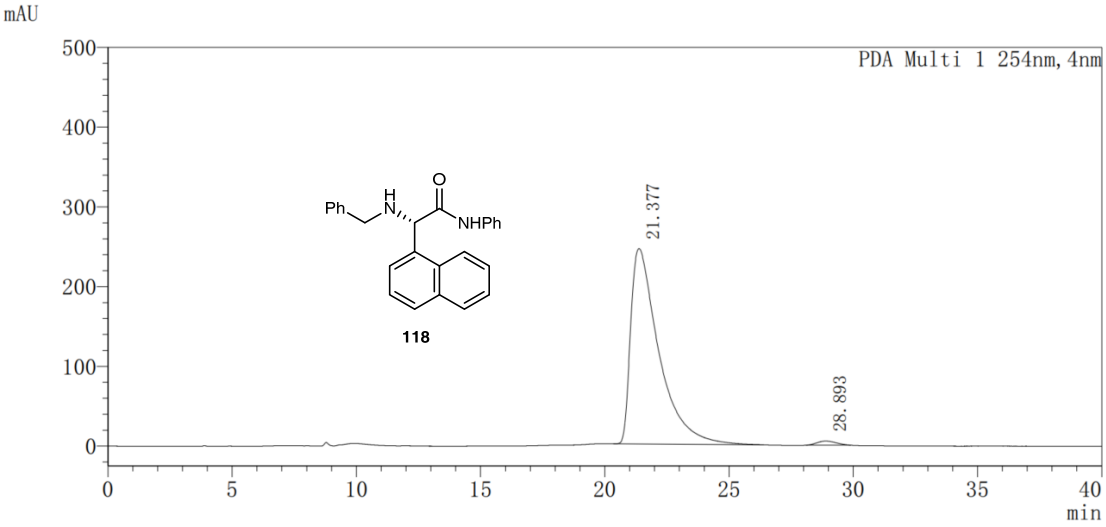
Peak#	Ret. Time	Area	Area%
1	12.776	1781546	5.119
2	16.177	33019701	94.881



Peak Table

PDA Ch1 254nm

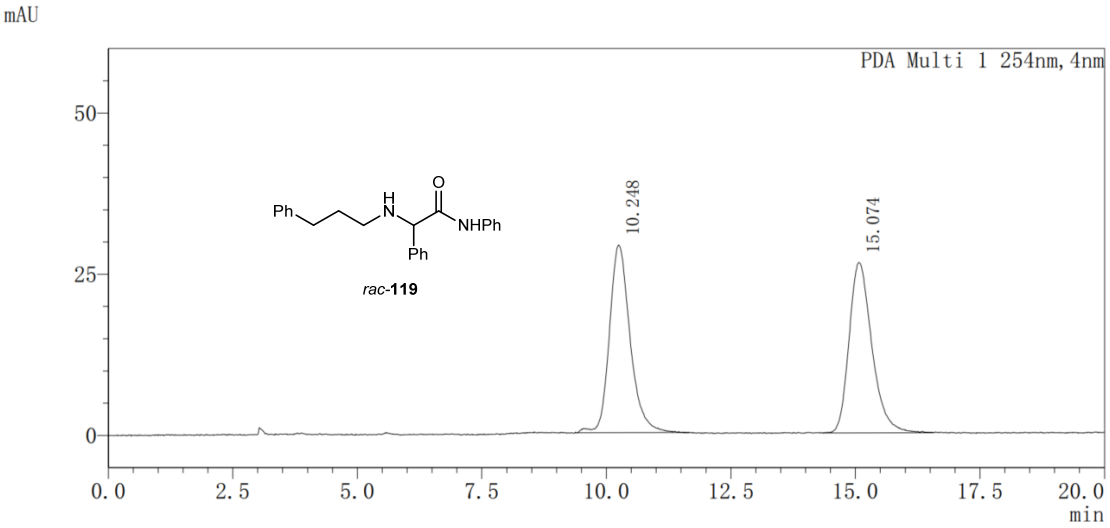
Peak#	Ret. Time	Area	Area%
1	21.632	3782749	50.017
2	28.533	3780112	49.983



Peak Table

PDA Ch1 254nm

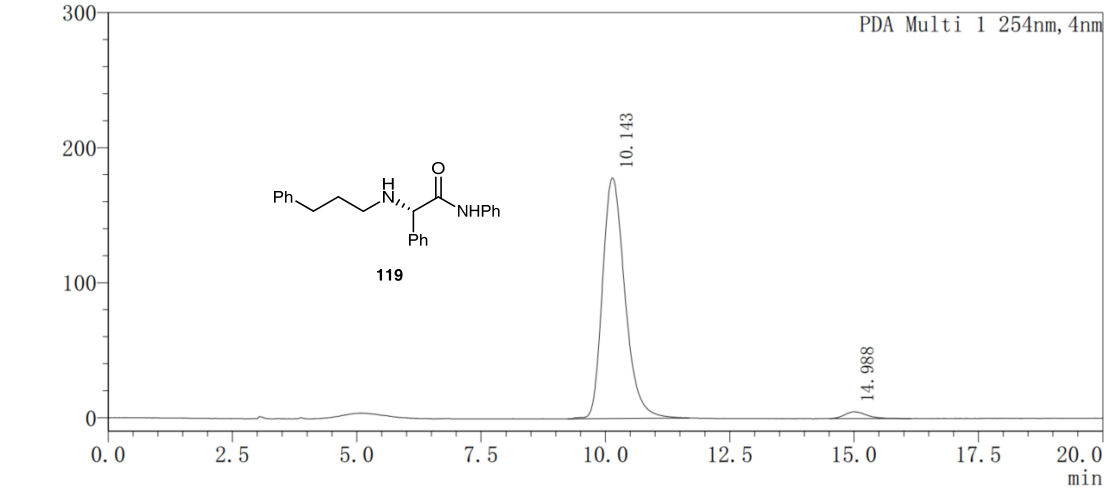
Peak#	Ret. Time	Area	Area%
1	21.377	19353761	98.579
2	28.893	278939	1.421



Peak Table

PDA Ch1 254nm

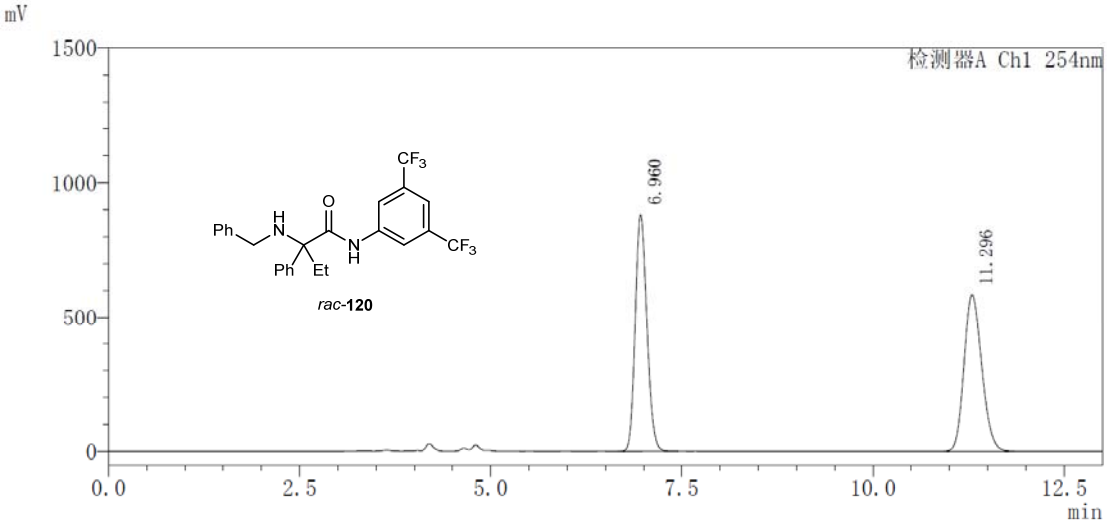
Peak#	Ret. Time	Area	Area%
1	10.248	841047	49.940
2	15.074	843052	50.060



Peak Table

PDA Ch1 254nm

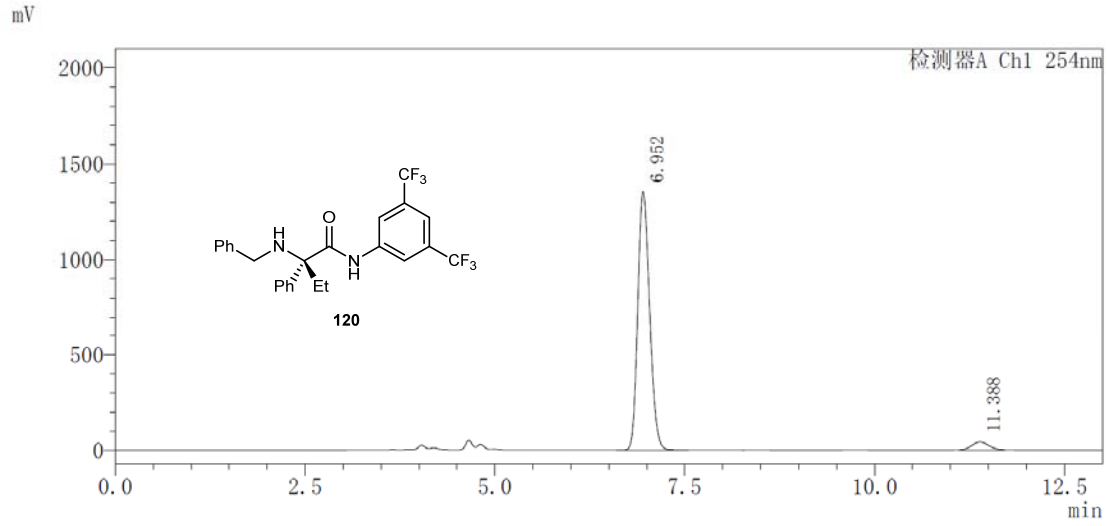
Peak#	Ret. Time	Area	Area%
1	10.143	5616053	97.391
2	14.988	150446	2.609



Peak Table

检测器A Ch1 254nm

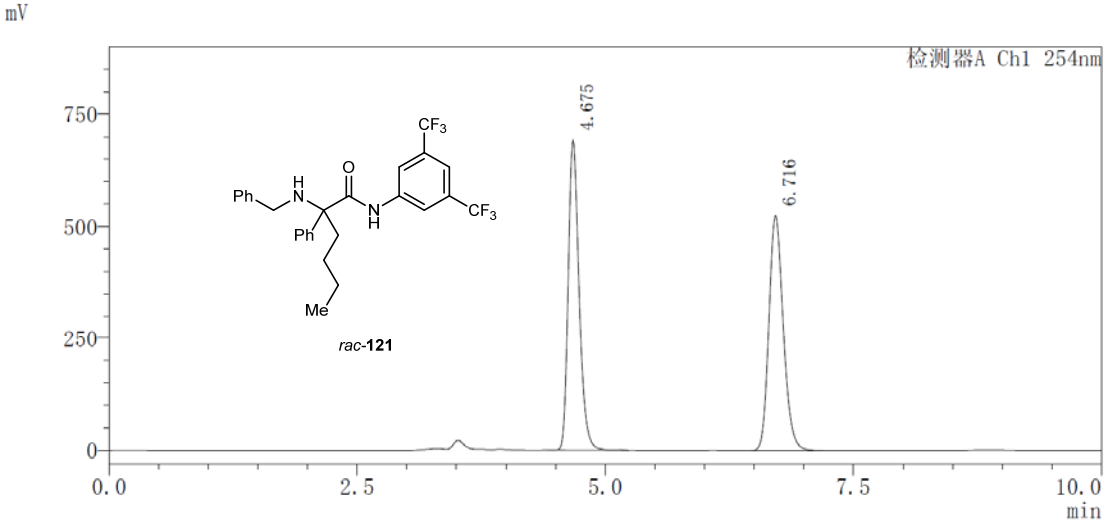
Peak#	Ret. Time	Area	Area%
1	6.960	9352184	49.711
2	11.296	9461049	50.289



Peak Table

检测器A Ch1 254nm

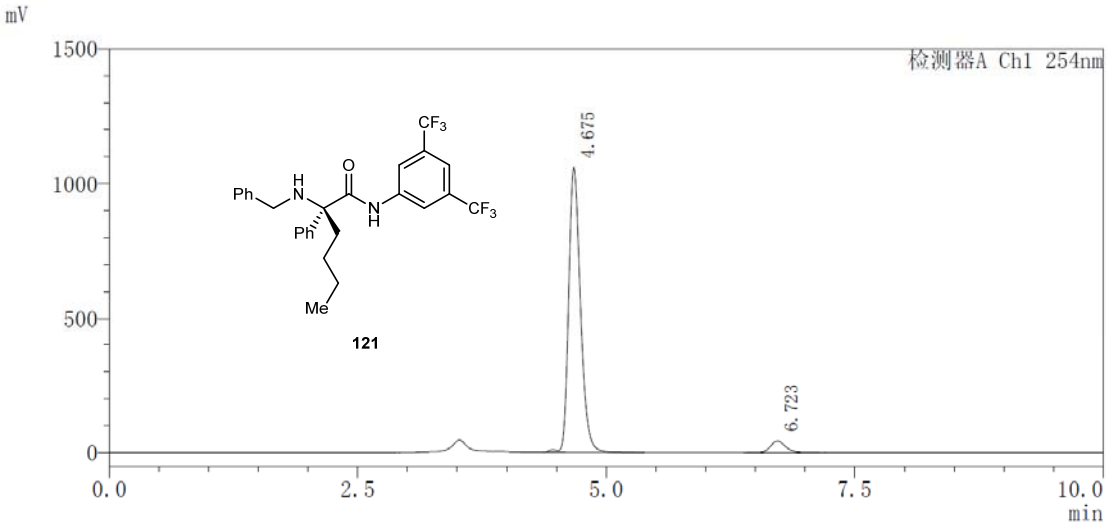
Peak#	Ret. Time	Area	Area%
1	6.952	14741483	95.558
2	11.388	685196	4.442



Peak Table

检测器A Ch1 254nm

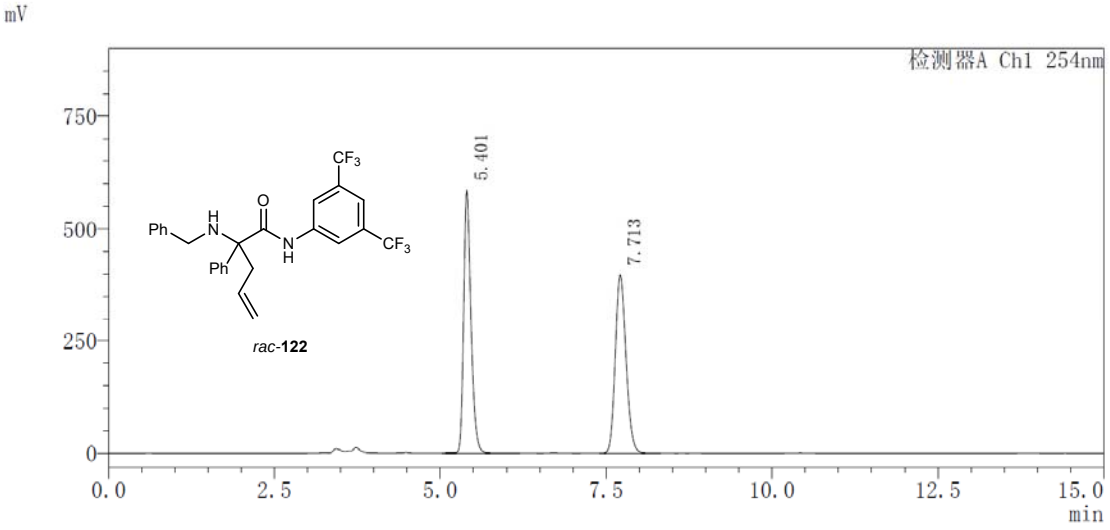
Peak#	Ret. Time	Area	Area%
1	4.675	5253471	49.860
2	6.716	5282926	50.140



Peak Table

检测器A Ch1 254nm

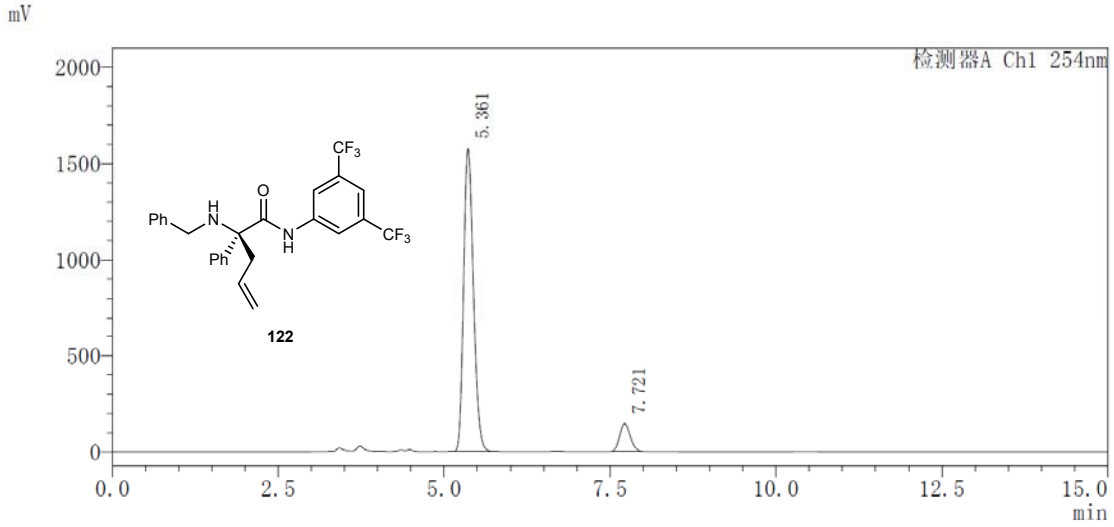
Peak#	Ret. Time	Area	Area%
1	4.675	8729896	95.086
2	6.723	451186	4.914



Peak Table

检测器A Ch1 254nm

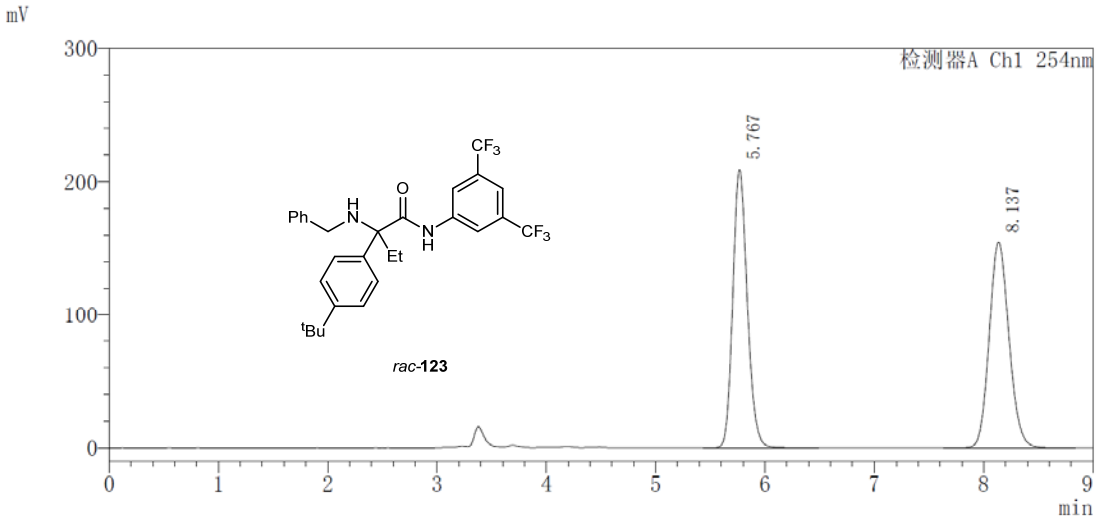
Peak#	Ret. Time	Area	Area%
1	5.401	4476822	50.014
2	7.713	4474357	49.986



Peak Table

检测器A Ch1 254nm

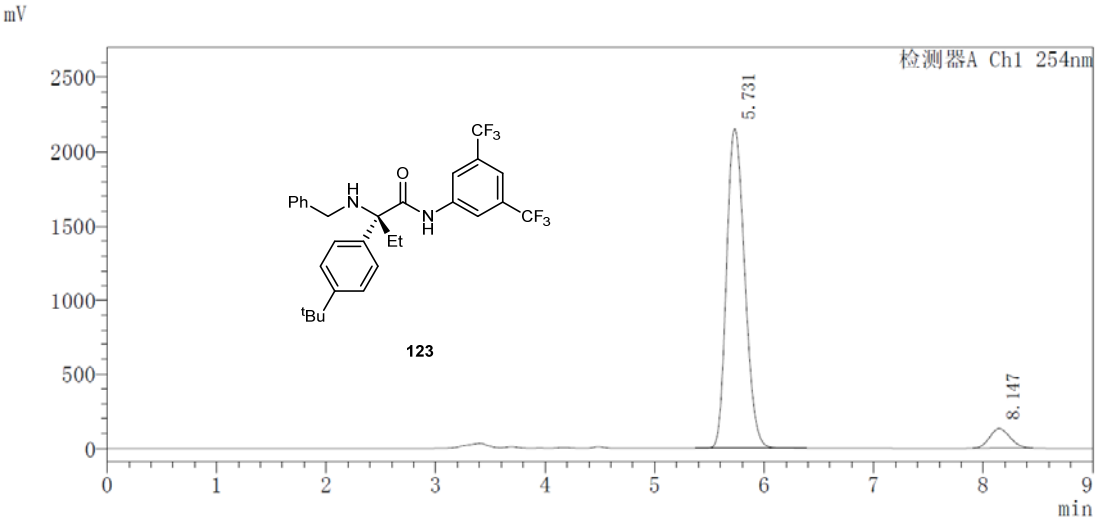
Peak#	Ret. Time	Area	Area%
1	5.361	16201881	91.024
2	7.721	1597707	8.976



Peak Table

检测器A Ch1 254nm

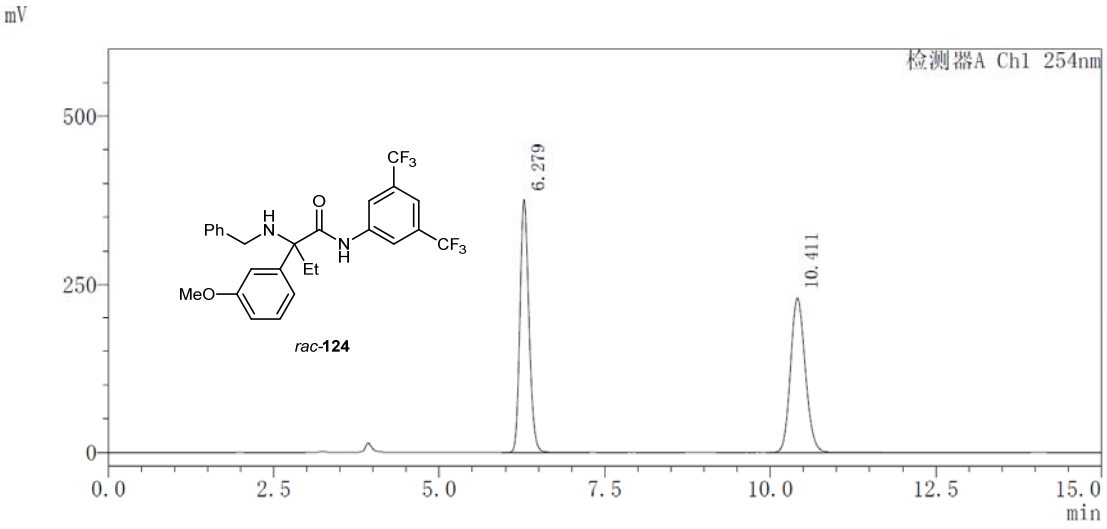
Peak#	Ret. Time	Area	Area%
1	5.767	1918833	49.767
2	8.137	1936766	50.233



Peak Table

检测器A Ch1 254nm

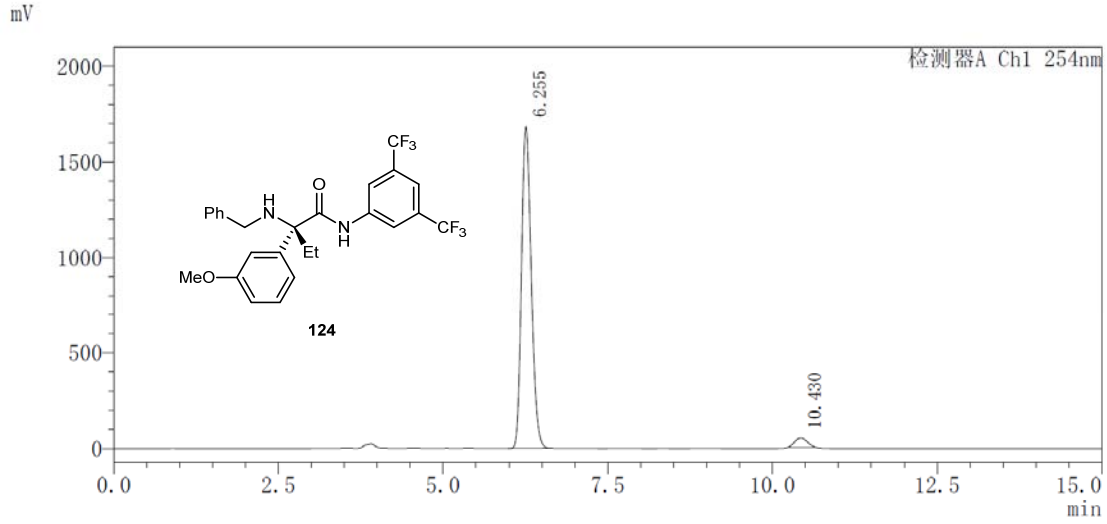
Peak#	Ret. Time	Area	Area%
1	5.731	24926072	93.573
2	8.147	1712019	6.427



Peak Table

检测器A Ch1 254nm

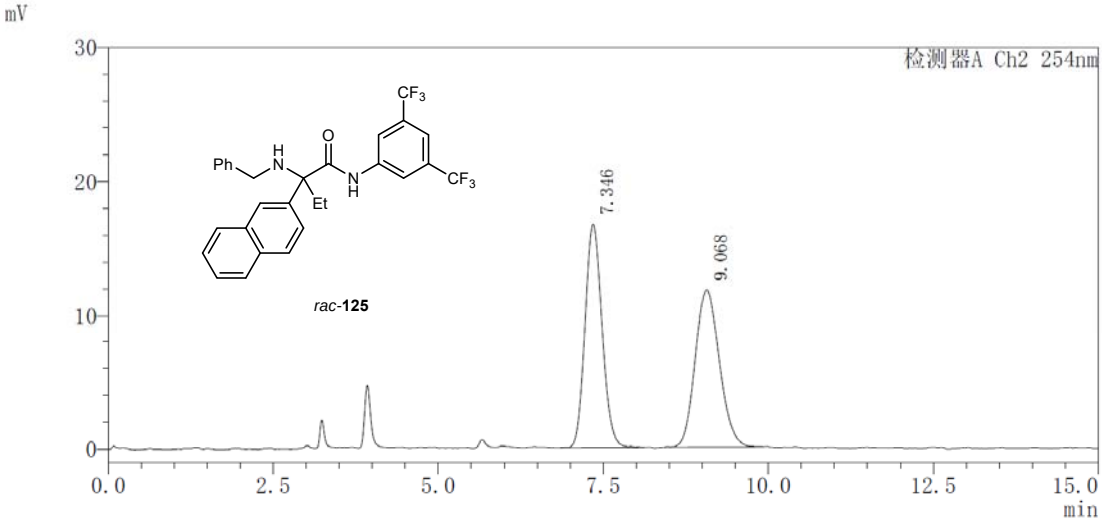
Peak#	Ret. Time	Area	Area%
1	6.279	3539361	49.846
2	10.411	3561234	50.154



Peak Table

检测器A Ch1 254nm

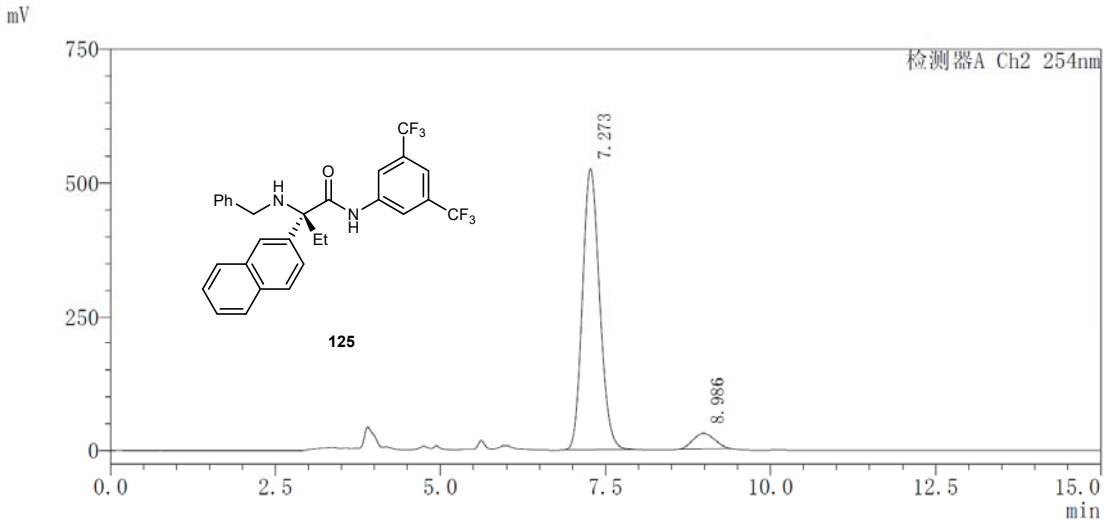
Peak#	Ret. Time	Area	Area%
1	6.255	17399567	96.182
2	10.430	690639	3.818



Peak Table

检测器A Ch2 254nm

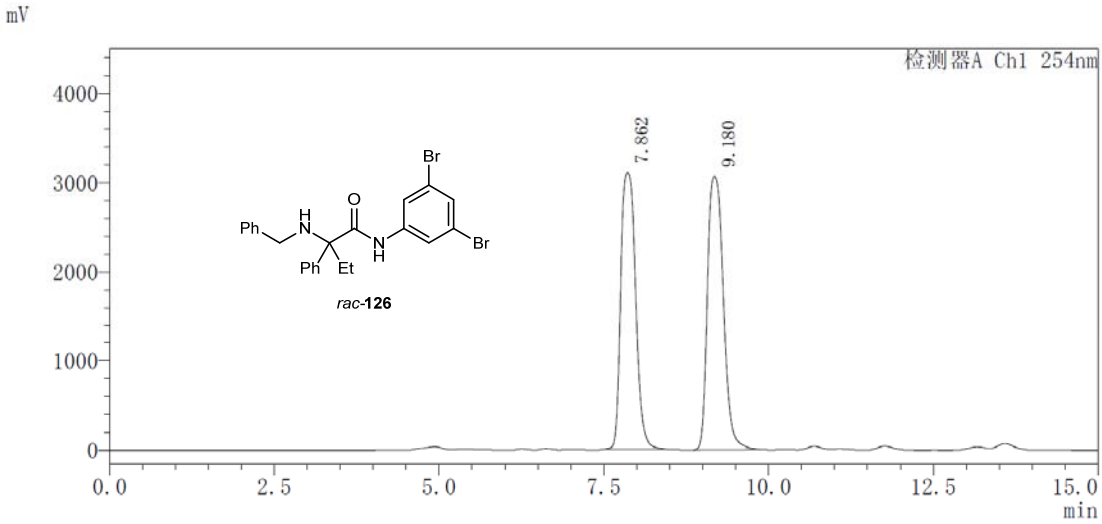
Peak#	Ret. Time	Area	Area%
1	7.346	301032	50.263
2	9.068	297881	49.737



Peak Table

检测器A Ch2 254nm

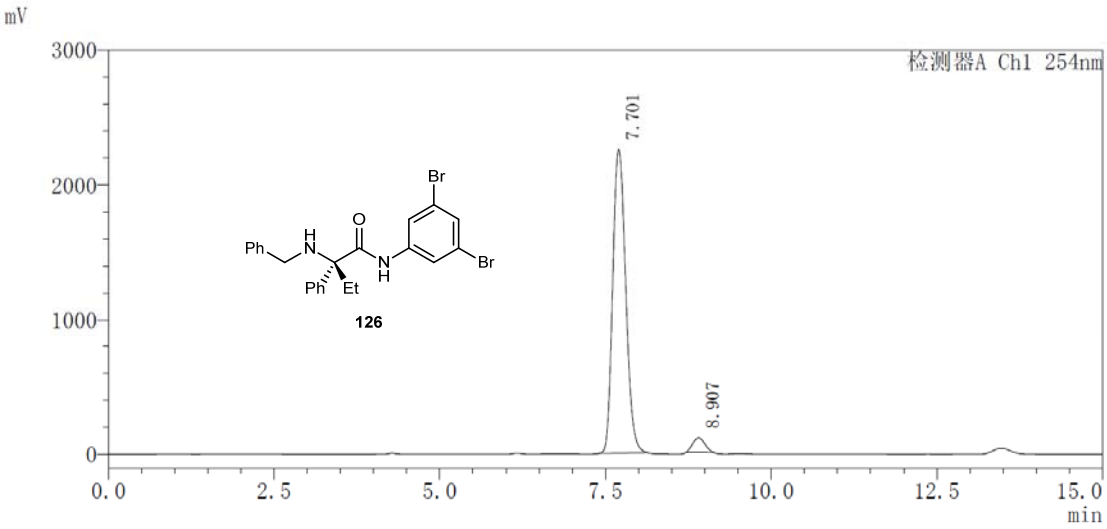
Peak#	Ret. Time	Area	Area%
1	7.273	9525711	93.494
2	8.986	662907	6.506



Peak Table

检测器A Ch1 254nm

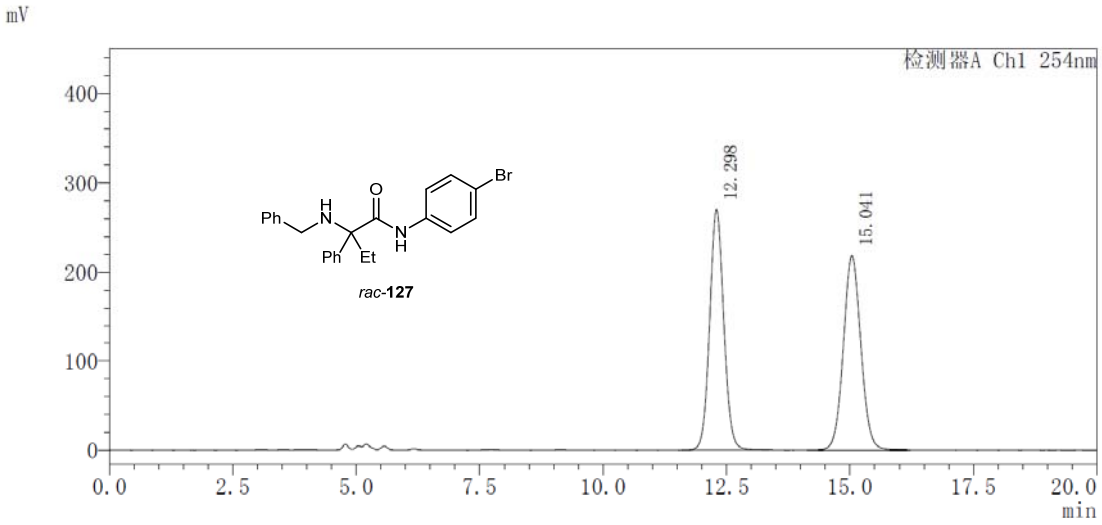
Peak#	Ret. Time	Area	Area%
1	7.862	48674582	48.606
2	9.180	51467351	51.394



Peak Table

检测器A Ch1 254nm

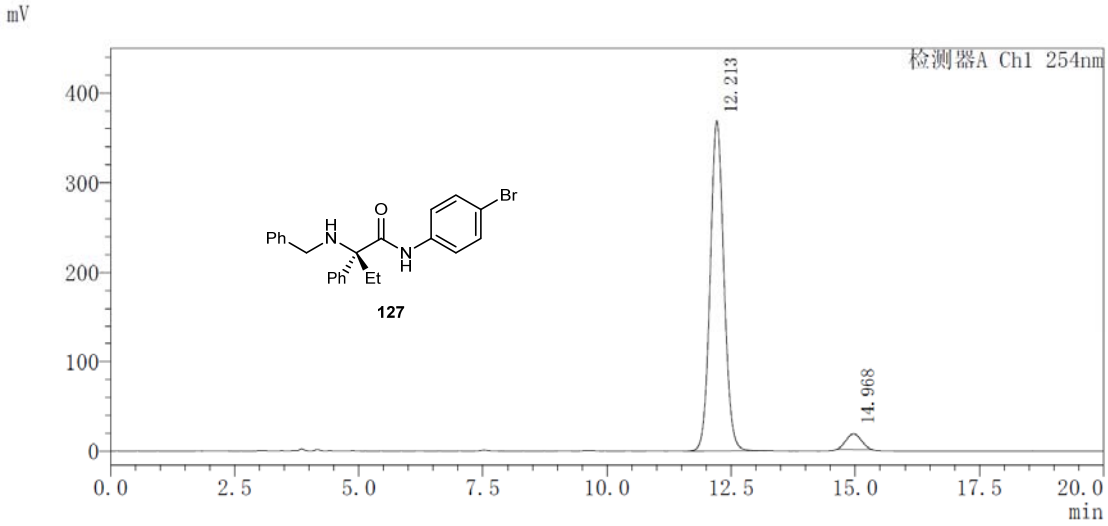
Peak#	Ret. Time	Area	Area%
1	7.701	30704112	95.795
2	8.907	1347815	4.205



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.298	5370324	49.941
2	15.041	5383120	50.059

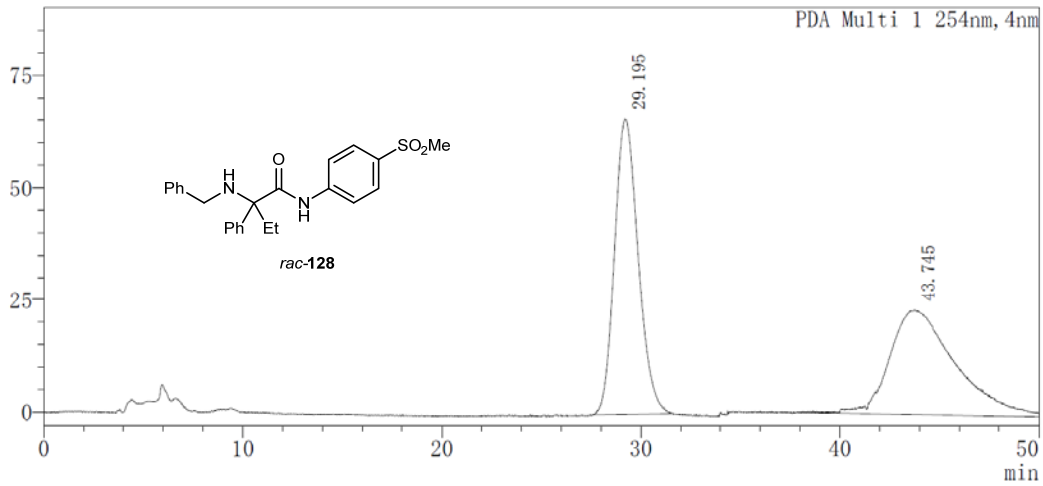


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.213	7124997	94.936
2	14.968	380081	5.064

mAU



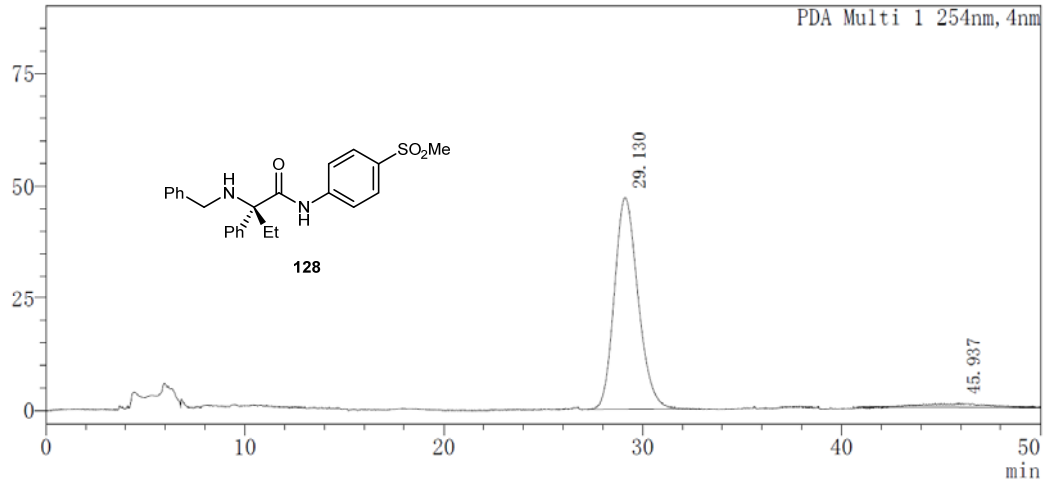
rac-**128**

Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	29.195	5444088	50.520
2	43.745	5332068	49.480

mAU



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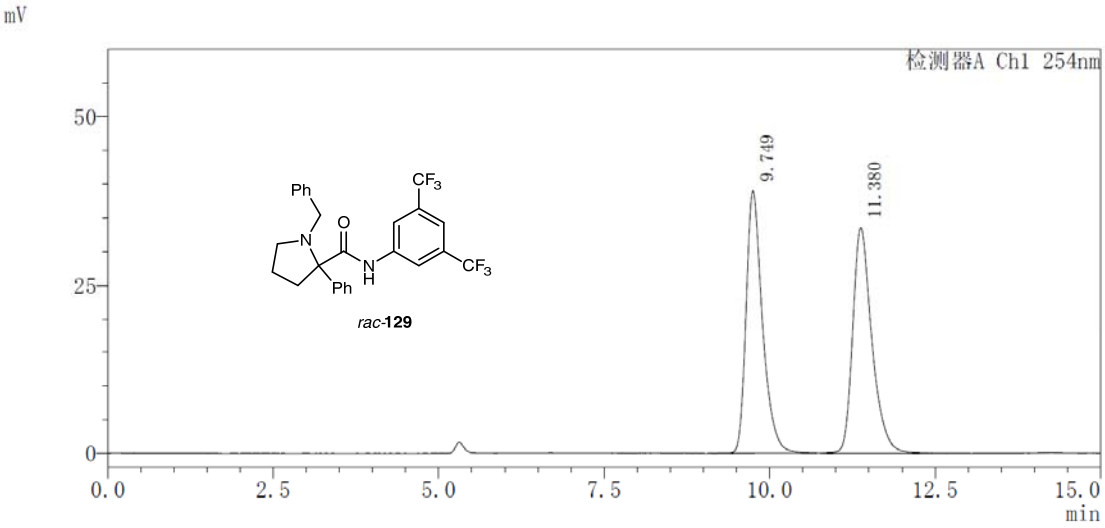
Peak Table

PDA Ch1 254nm

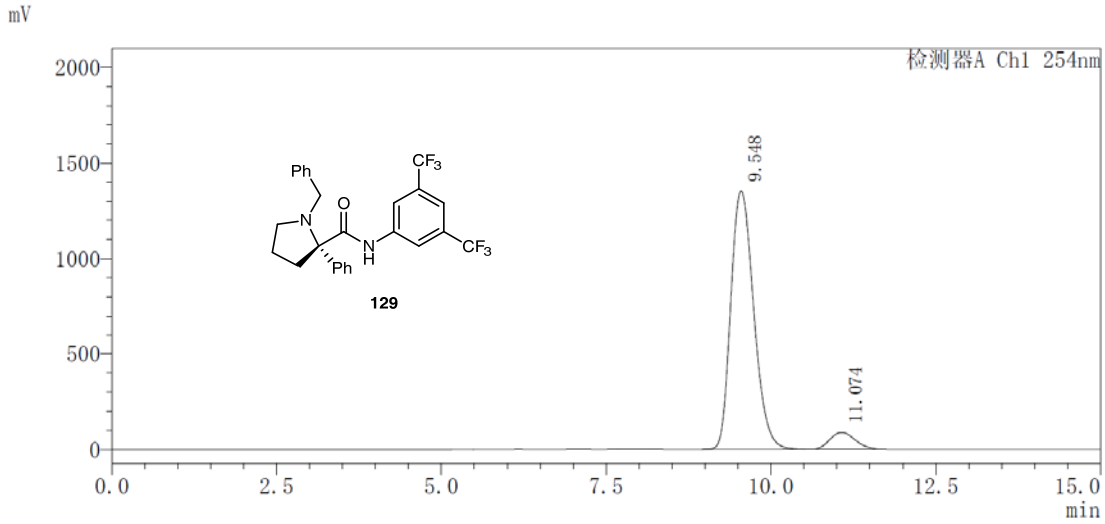
Peak#	Ret. Time	Area	Area%
1	29.130	3909478	95.639
2	45.937	178257	4.361



Peak Table

检测器A Ch1 254nm

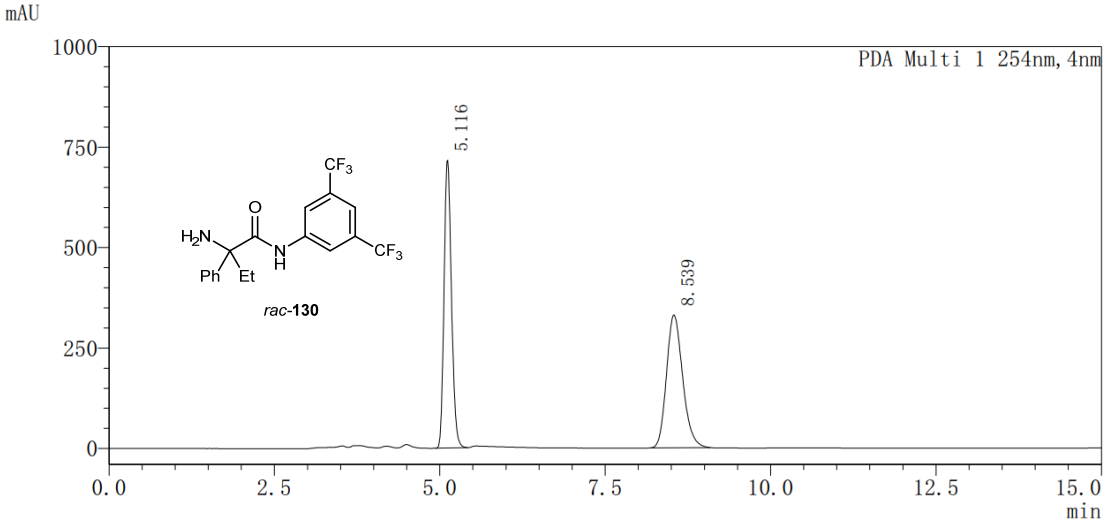
Peak#	Ret. Time	Area	Area%
1	9.749	672987	49.894
2	11.380	675847	50.106



Peak Table

检测器A Ch1 254nm

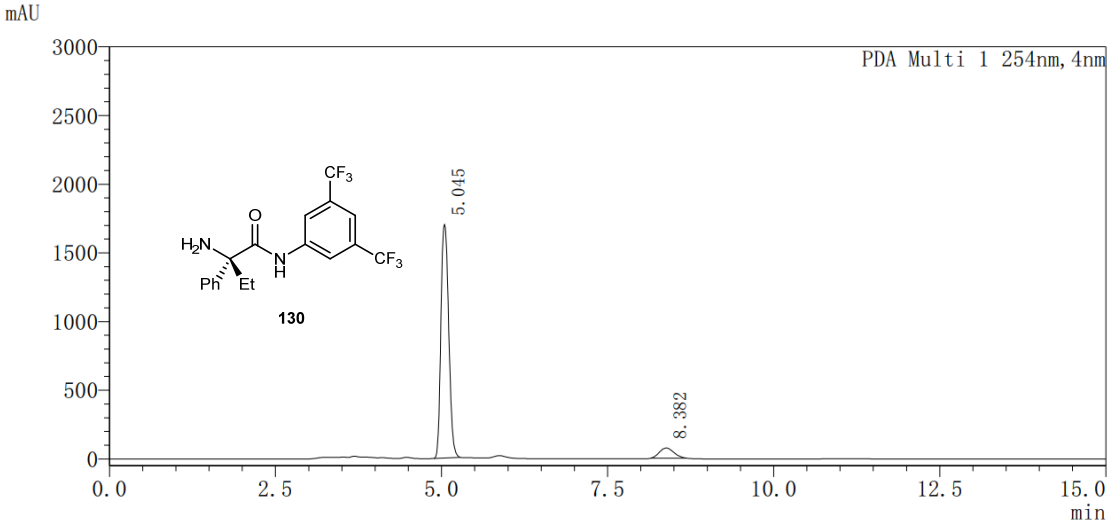
Peak#	Ret. Time	Area	Area%
1	9.548	32682775	93.280
2	11.074	2354406	6.720



Peak Table

PDA Ch1 254nm

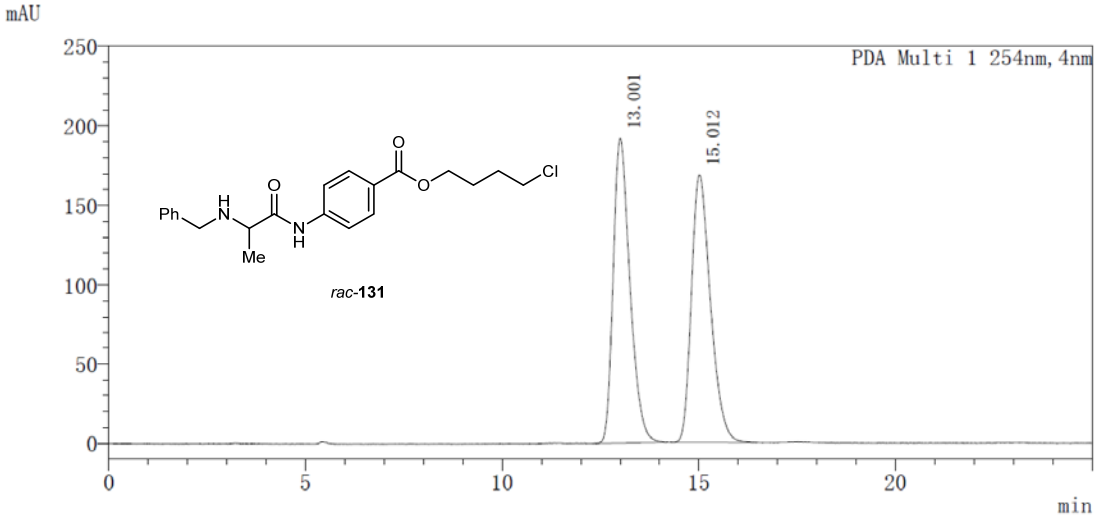
Peak#	Ret. Time	Area	Area%
1	5.116	5575407	49.745
2	8.539	5632597	50.255



Peak Table

PDA Ch1 254nm

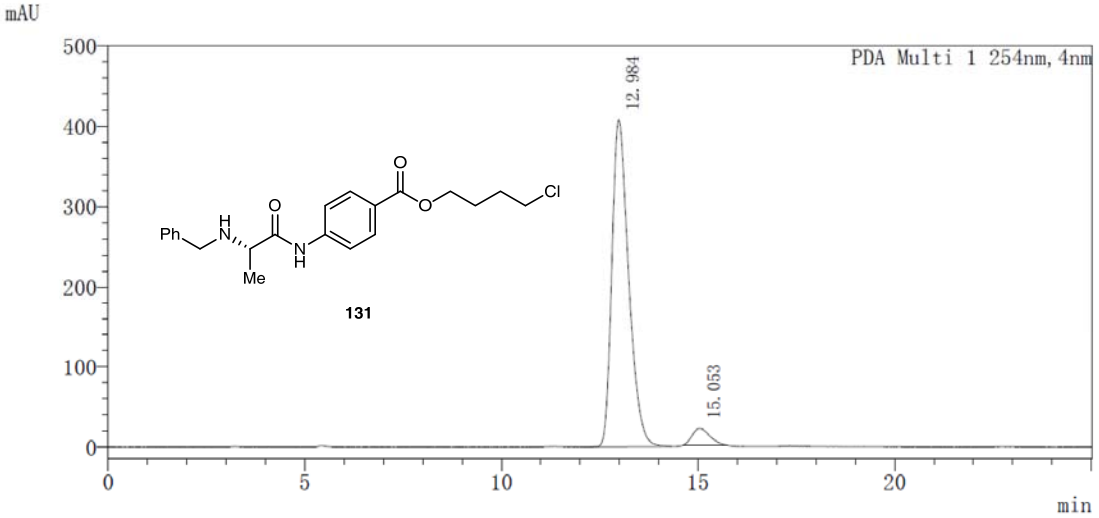
Peak#	Ret. Time	Area	Area%
1	5.045	13834540	92.520
2	8.382	1118504	7.480



Peak Table

PDA Ch1 254nm

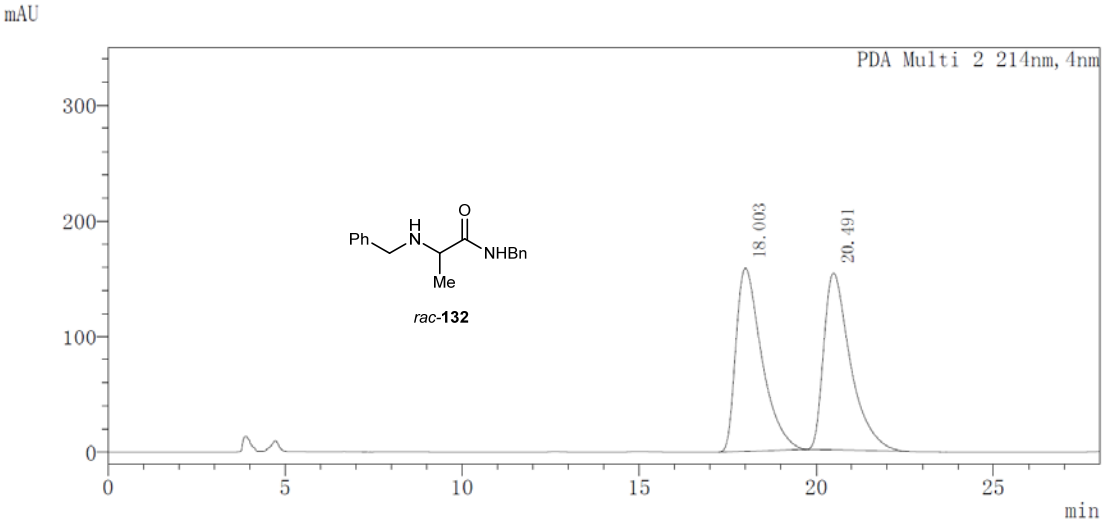
Peak#	Ret. Time	Area	Area%
1	13.001	5688051	50.021
2	15.012	5683162	49.979



Peak Table

PDA Ch1 254nm

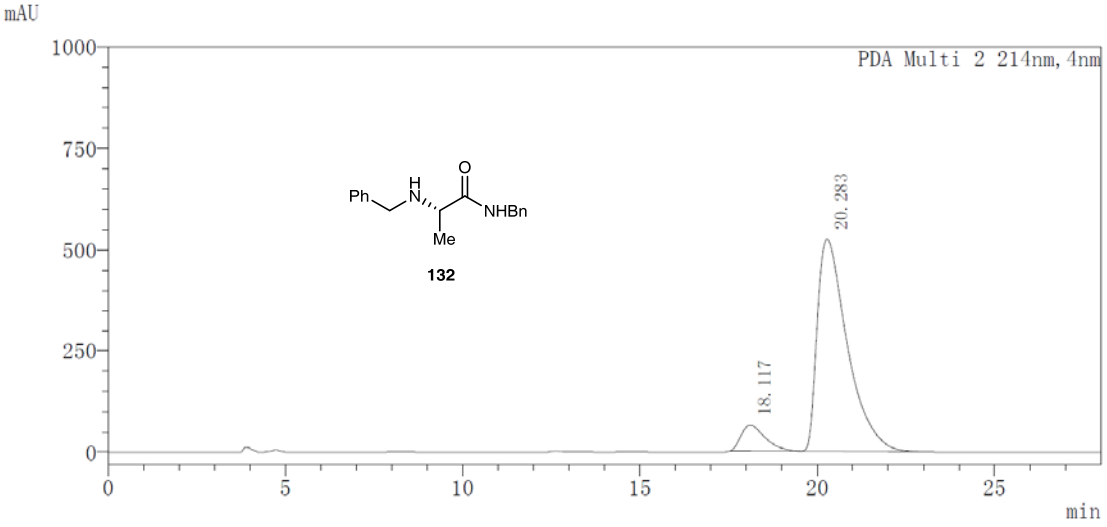
Peak#	Ret. Time	Area	Area%
1	12.984	12109689	94.832
2	15.053	659955	5.168



Peak Table

PDA Ch2 214nm

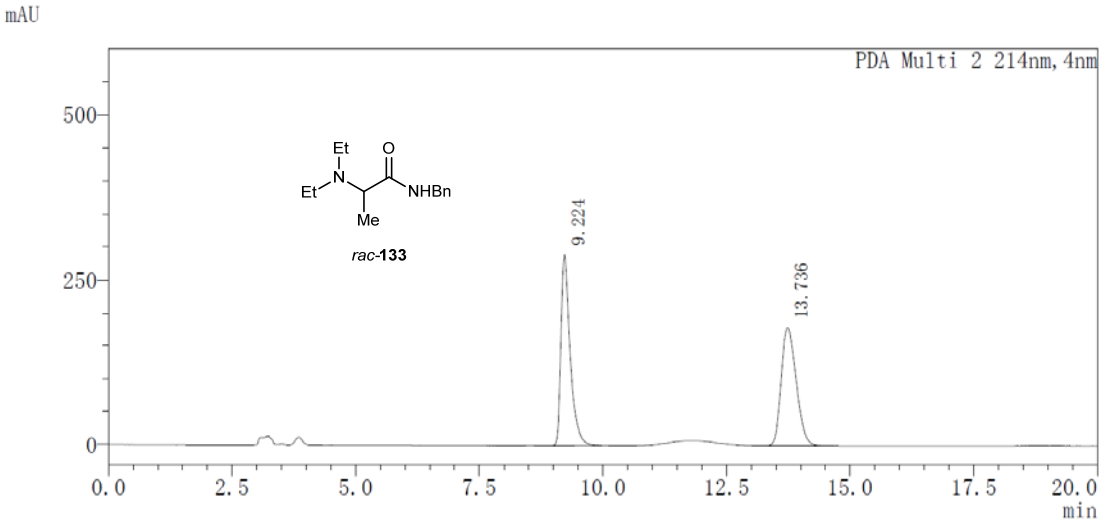
Peak#	Ret. Time	Area	Area%
1	18.003	8072380	50.076
2	20.491	8047774	49.924



Peak Table

PDA Ch2 214nm

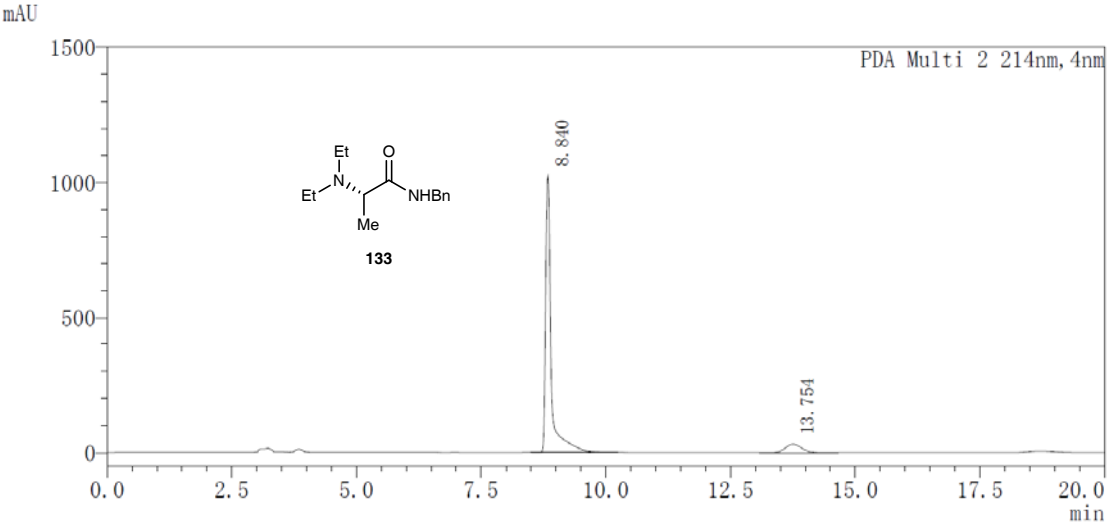
Peak#	Ret. Time	Area	Area%
1	18.117	3053021	8.944
2	20.283	31081739	91.056



Peak Table

PDA Ch2 214nm

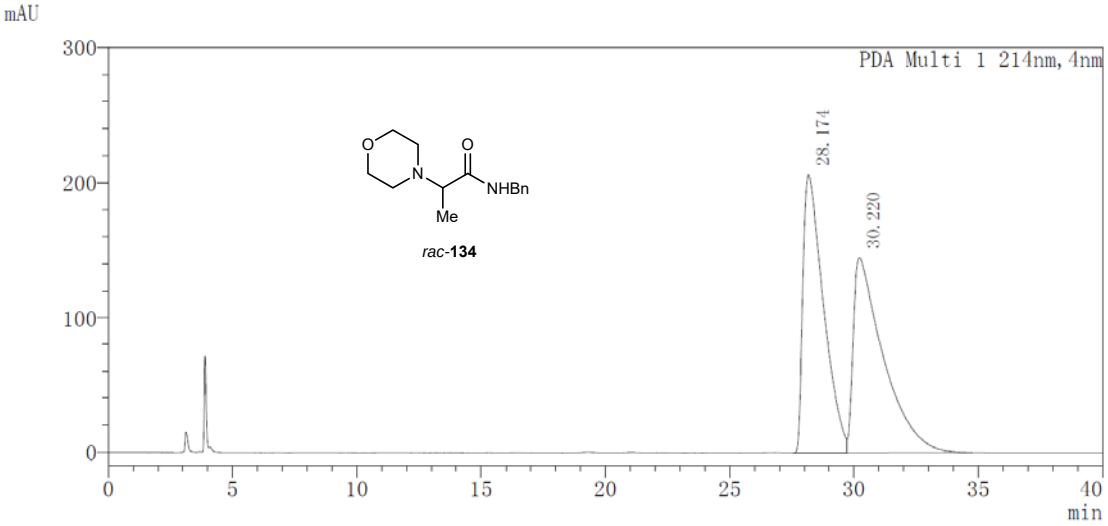
Peak#	Ret. Time	Area	Area%
1	9.224	3751888	49.772
2	13.736	3786262	50.228



Peak Table

PDA Ch2 214nm

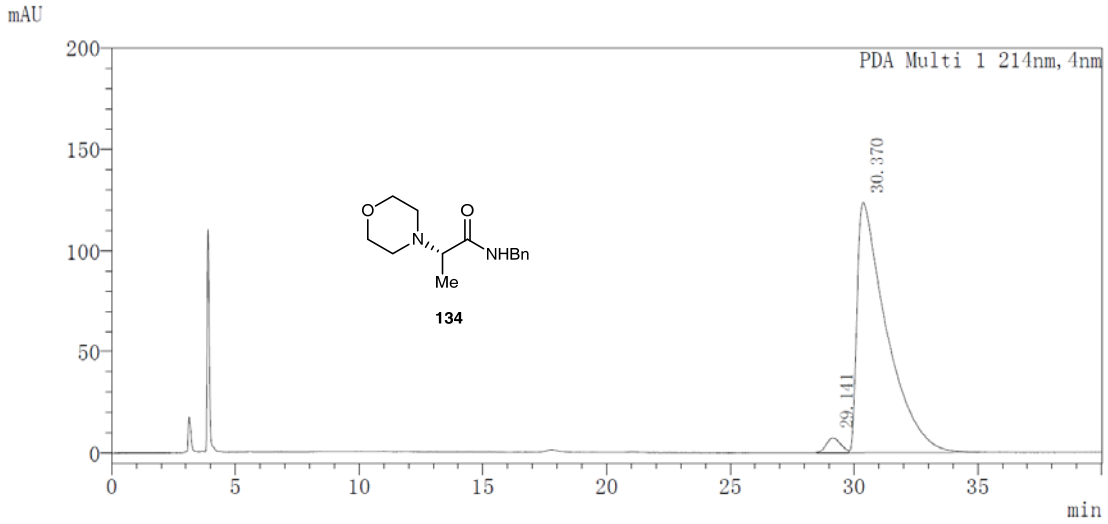
Peak#	Ret. Time	Area	Area%
1	8.840	8073122	91.777
2	13.754	723302	8.223



Peak Table

PDA Ch1 214nm

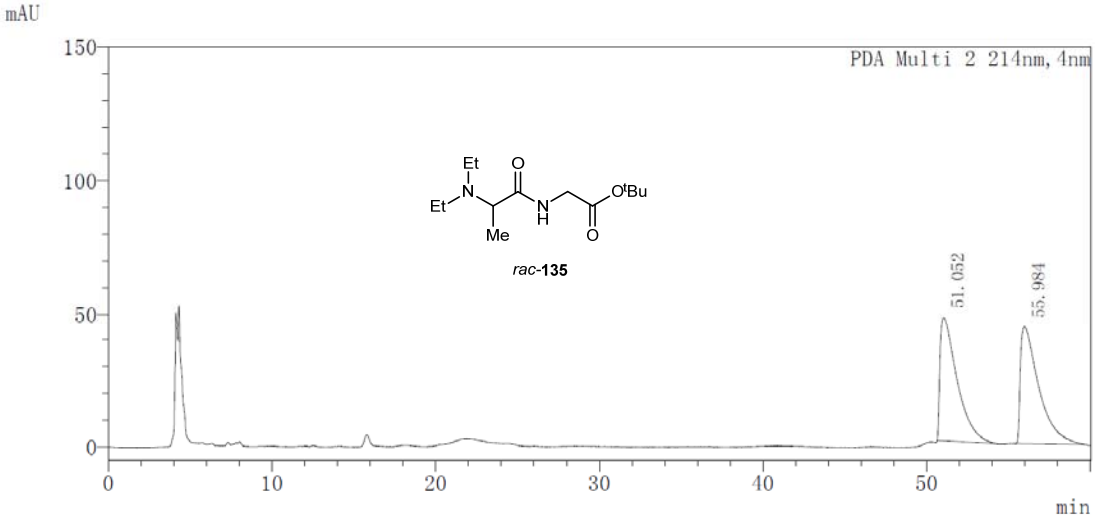
Peak#	Ret. Time	Area	Area%
1	28.174	11856948	49.287
2	30.220	12199942	50.713



Peak Table

PDA Ch1 214nm

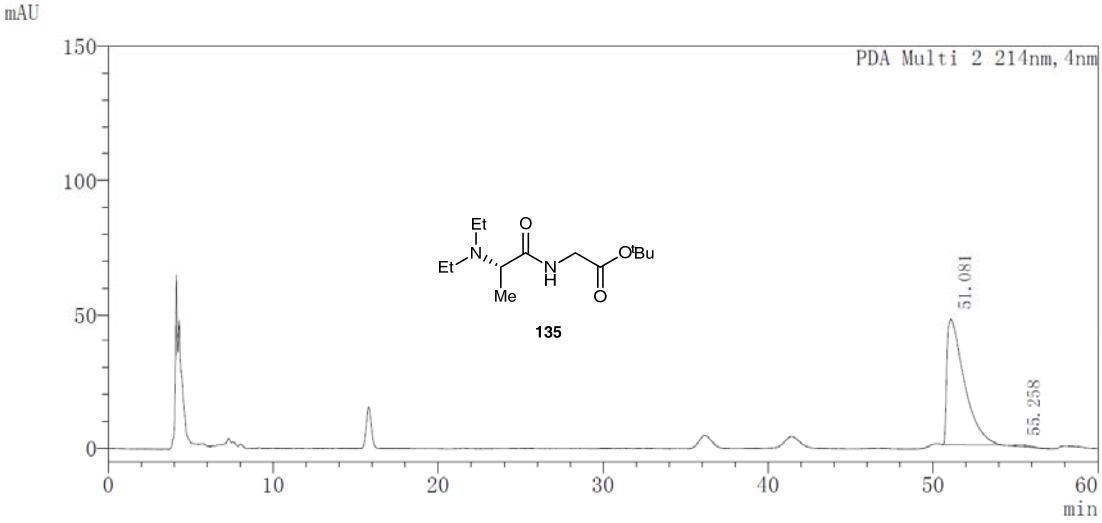
Peak#	Ret. Time	Area	Area%
1	29.141	291198	2.769
2	30.370	10223566	97.231



Peak Table

PDA Ch2 214nm

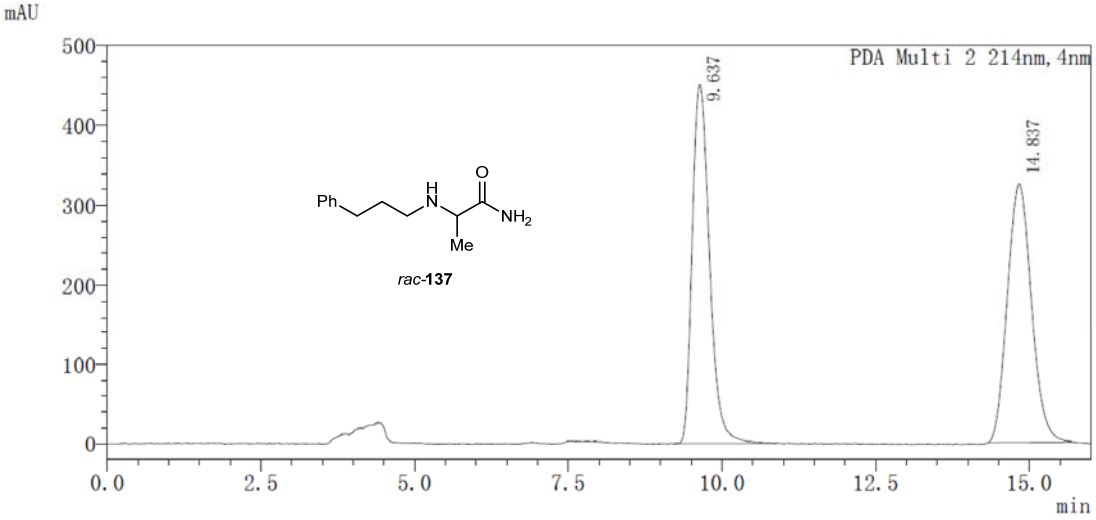
Peak#	Ret. Time	Area	Area%
1	51.052	3350636	49.454
2	55.984	3424688	50.546



Peak Table

PDA Ch2 214nm

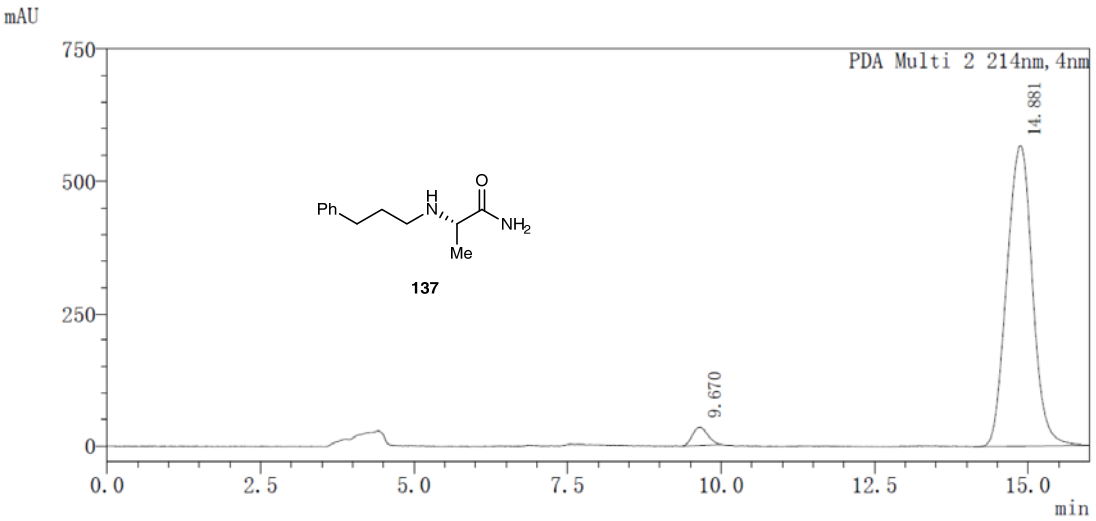
Peak#	Ret. Time	Area	Area%
1	51.081	3446560	98.988
2	55.258	35228	1.012



Peak Table

PDA Ch2 214nm

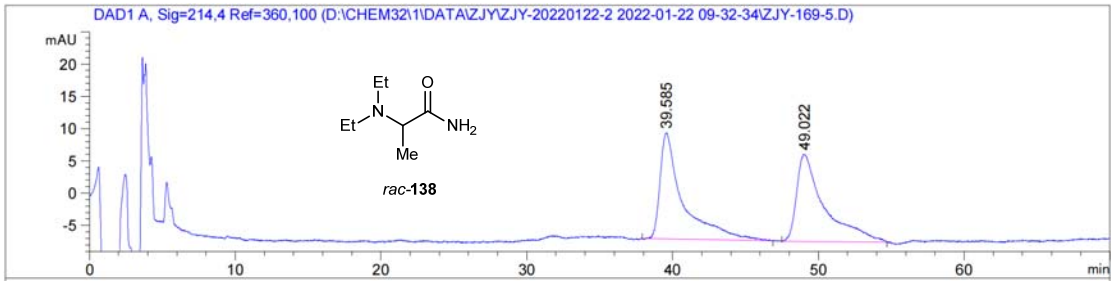
Peak#	Ret. Time	Area	Area%
1	9.637	8867147	49.908
2	14.837	8899989	50.092



Peak Table

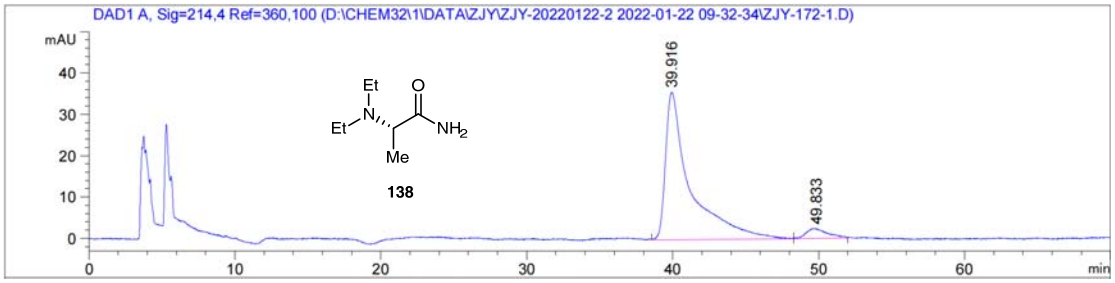
PDA Ch2 214nm

Peak#	Ret. Time	Area	Area%
1	9.670	593287	3.462
2	14.881	16545409	96.538



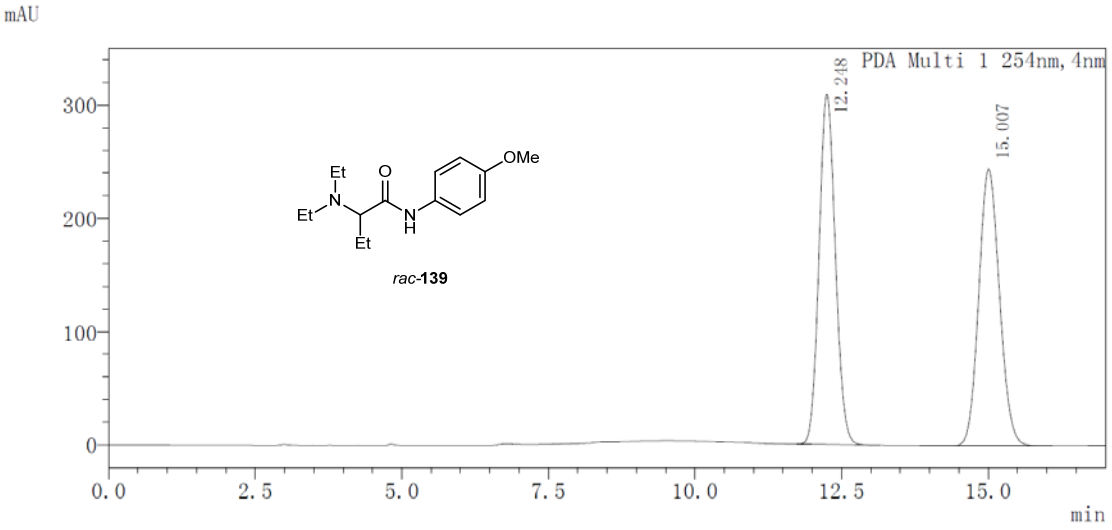
Signal 1: DAD1 A, Sig=214,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	39.585	MM R	1.8124	1787.79822	16.44020	50.1063
2	49.022	MM R	2.1976	1780.21301	13.50120	49.8937
Totals :				3568.01123	29.94140	



Signal 1: DAD1 A, Sig=214,4 Ref=360,100

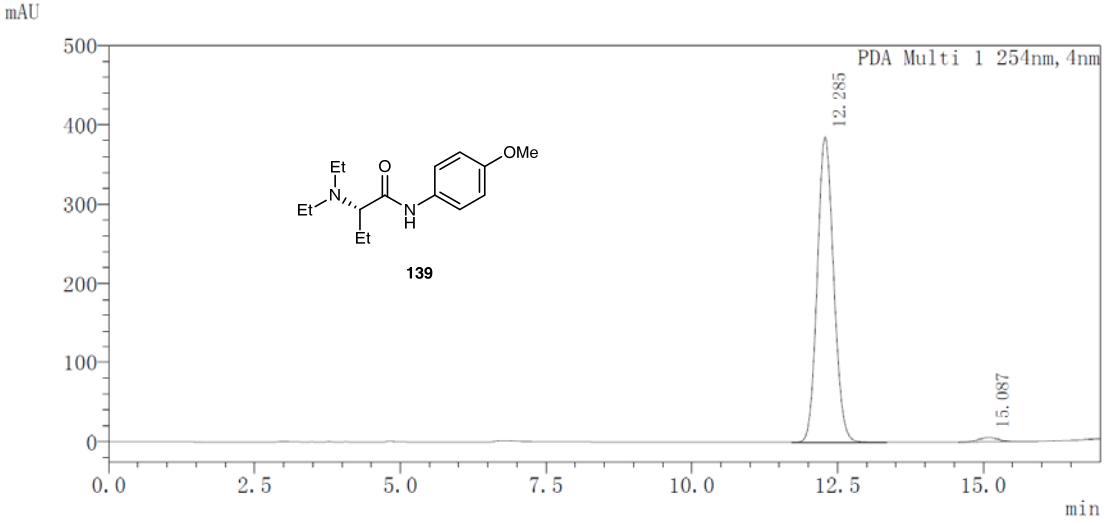
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	39.916	MM R	1.9650	4200.82959	35.63101	94.2319
2	49.833	MM R	1.7445	257.13928	2.45670	5.7681
Totals :				4457.96887	38.08771	



Peak Table

PDA Ch1 254nm

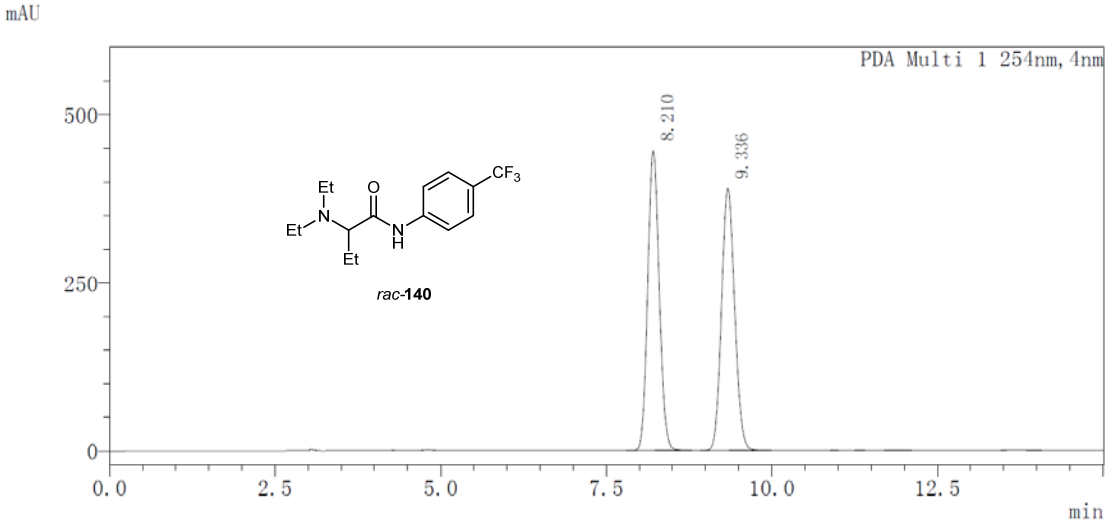
Peak#	Ret. Time	Area	Area%
1	12.248	5992871	49.962
2	15.007	6001912	50.038



Peak Table

PDA Ch1 254nm

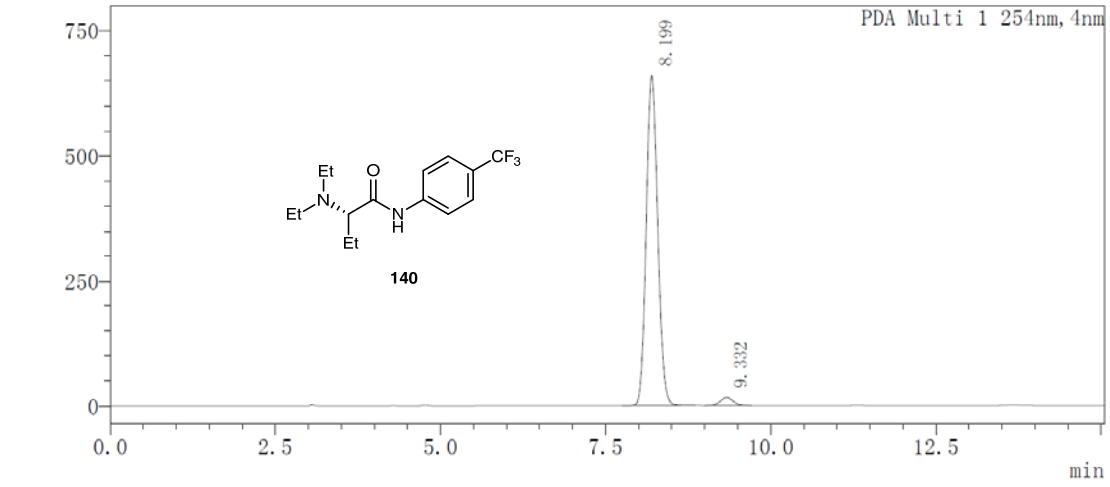
Peak#	Ret. Time	Area	Area%
1	12.285	7508808	98.322
2	15.087	128125	1.678



Peak Table

PDA Ch1 254nm

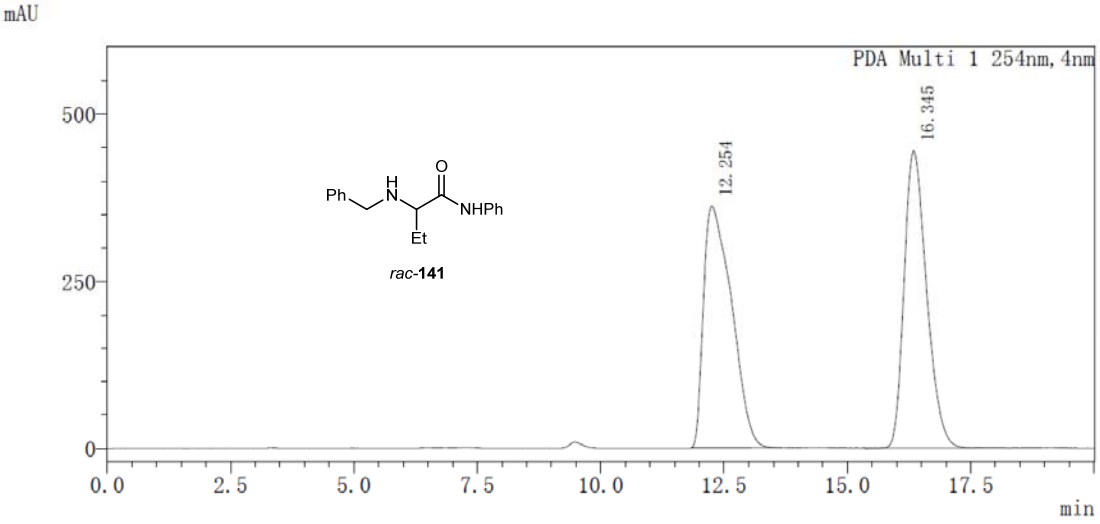
Peak#	Ret. Time	Area	Area%
1	8.210	5382335	49.999
2	9.336	5382606	50.001



Peak Table

PDA Ch1 254nm

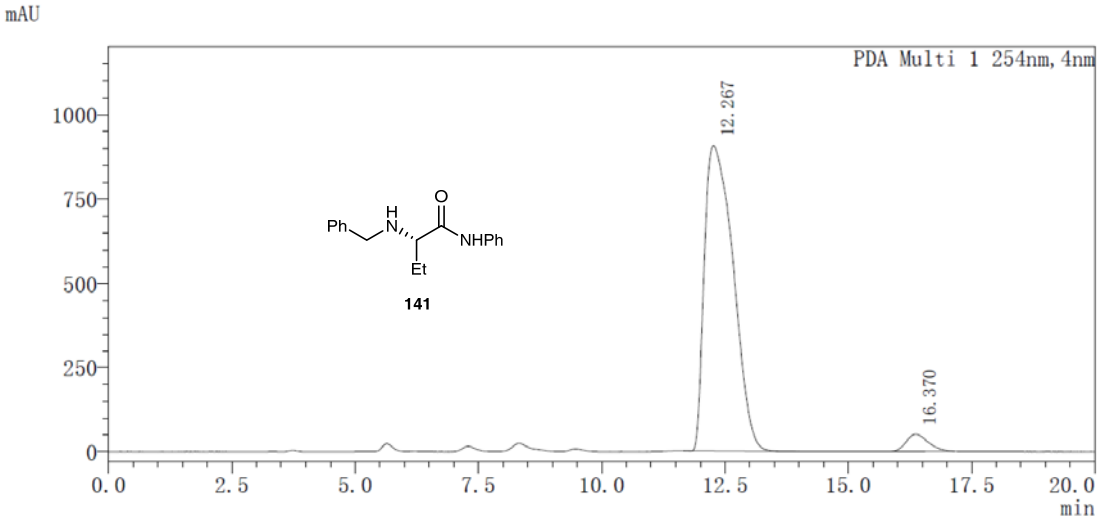
Peak#	Ret. Time	Area	Area%
1	8.199	7989718	97.283
2	9.332	223170	2.717



Peak Table

PDA Ch1 254nm

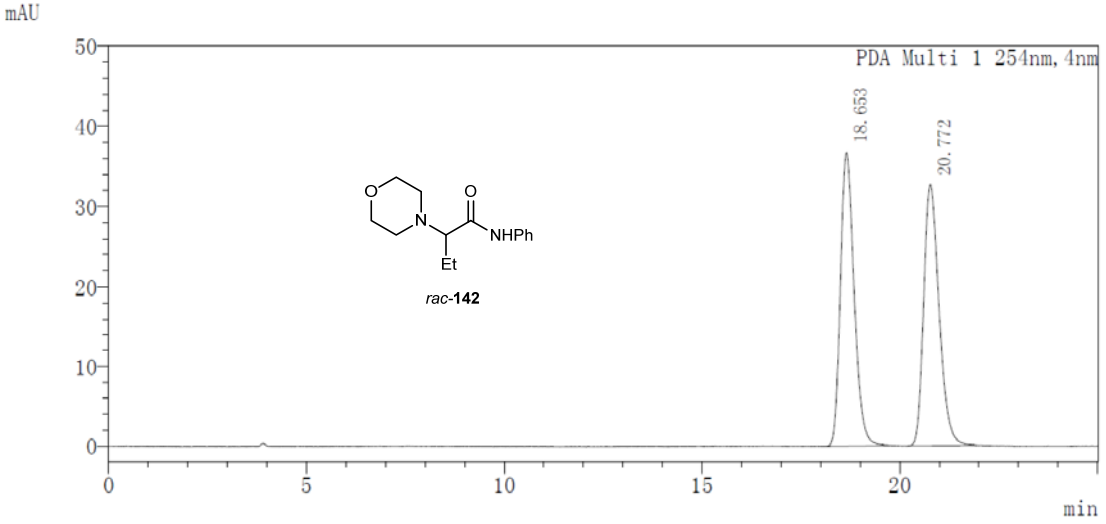
Peak#	Ret. Time	Area	Area%
1	12.254	14334218	50.382
2	16.345	14117025	49.618



Peak Table

PDA Ch1 254nm

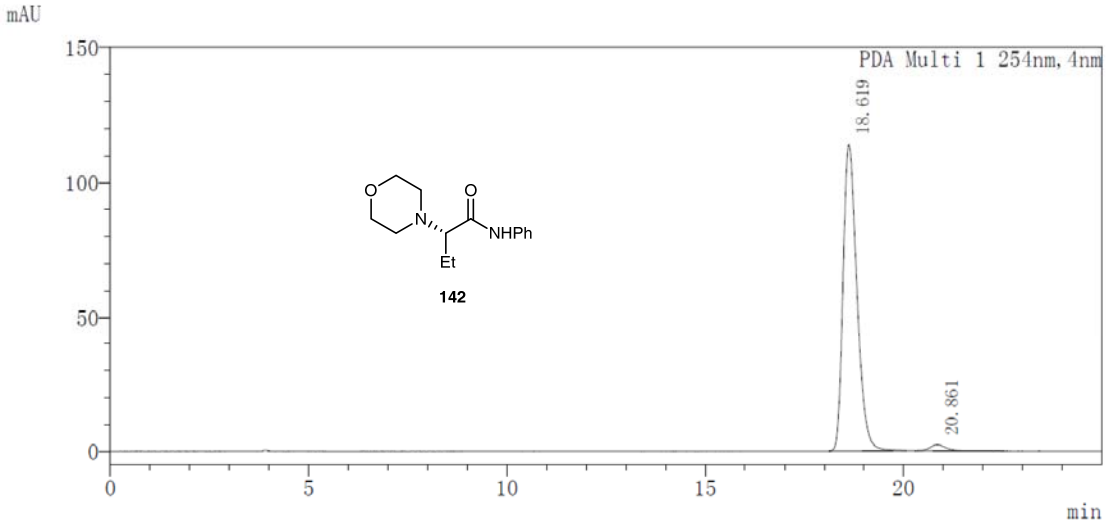
Peak#	Ret. Time	Area	Area%
1	12.267	36887854	95.827
2	16.370	1606405	4.173



Peak Table

PDA Ch1 254nm

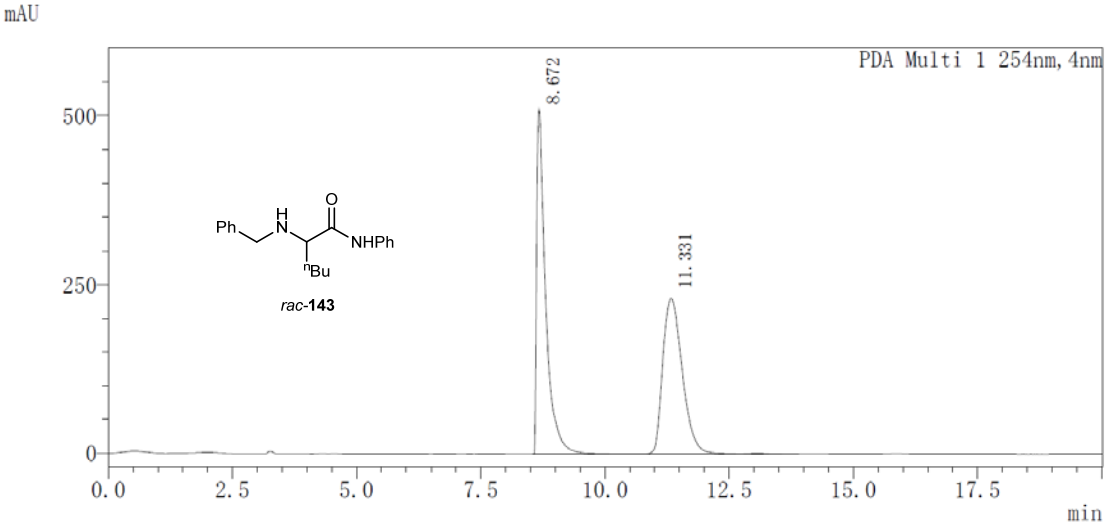
Peak#	Ret. Time	Area	Area%
1	18.653	886895	50.058
2	20.772	884834	49.942



Peak Table

PDA Ch1 254nm

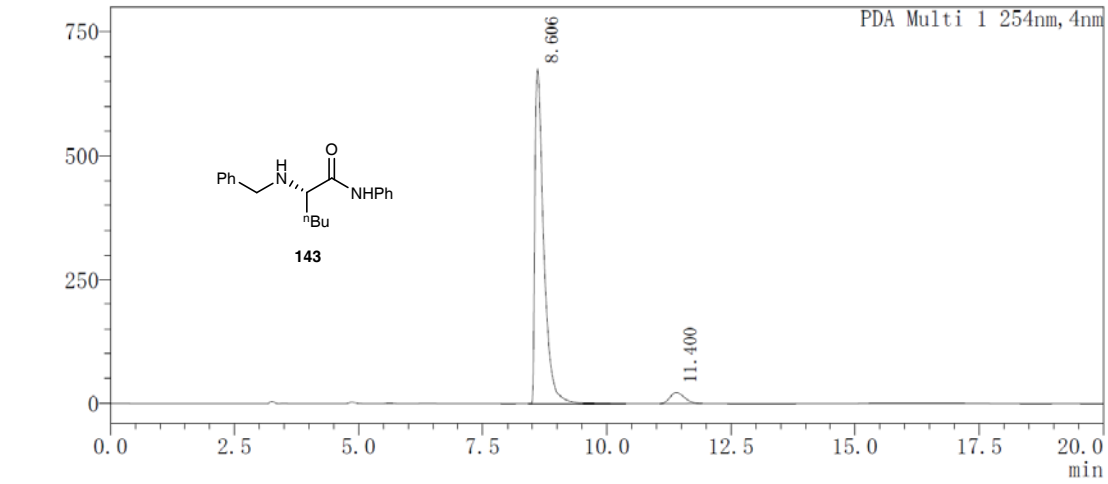
Peak#	Ret. Time	Area	Area%
1	18.619	2777199	97.860
2	20.861	60725	2.140



Peak Table

PDA Ch1 254nm

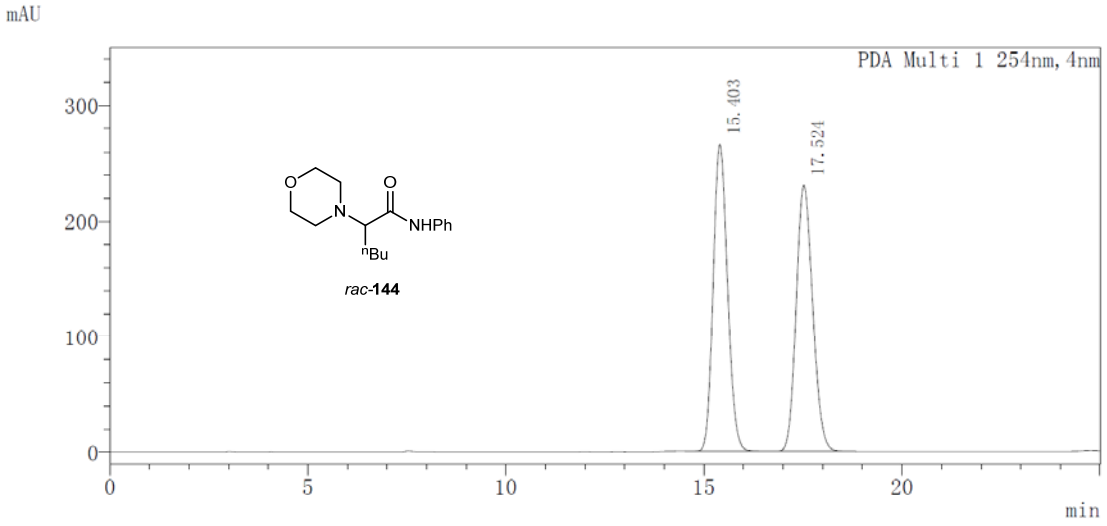
Peak#	Ret. Time	Area	Area%
1	8.672	6443380	50.390
2	11.331	6343609	49.610



Peak Table

PDA Ch1 254nm

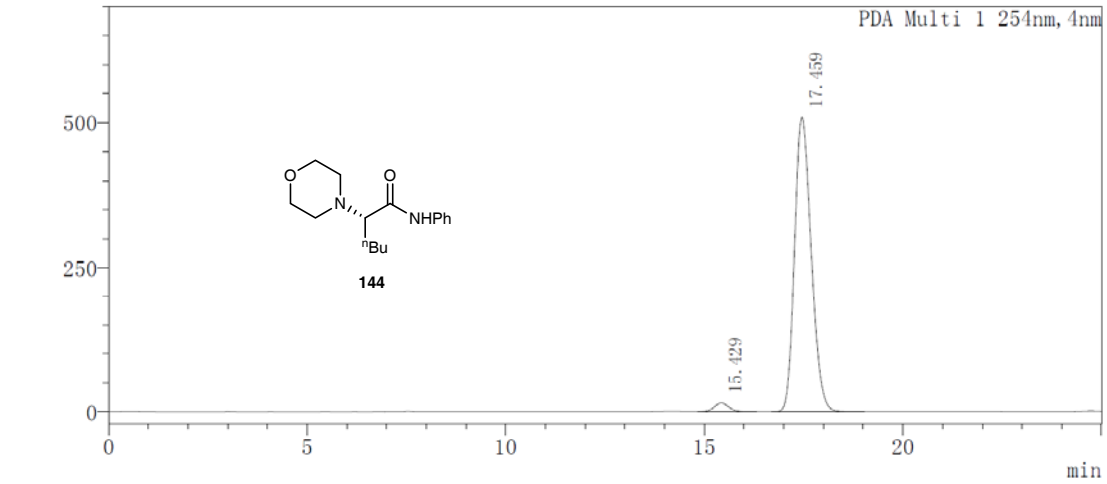
Peak#	Ret. Time	Area	Area%
1	8.606	8421873	95.042
2	11.400	439341	4.958



Peak Table

PDA Ch1 254nm

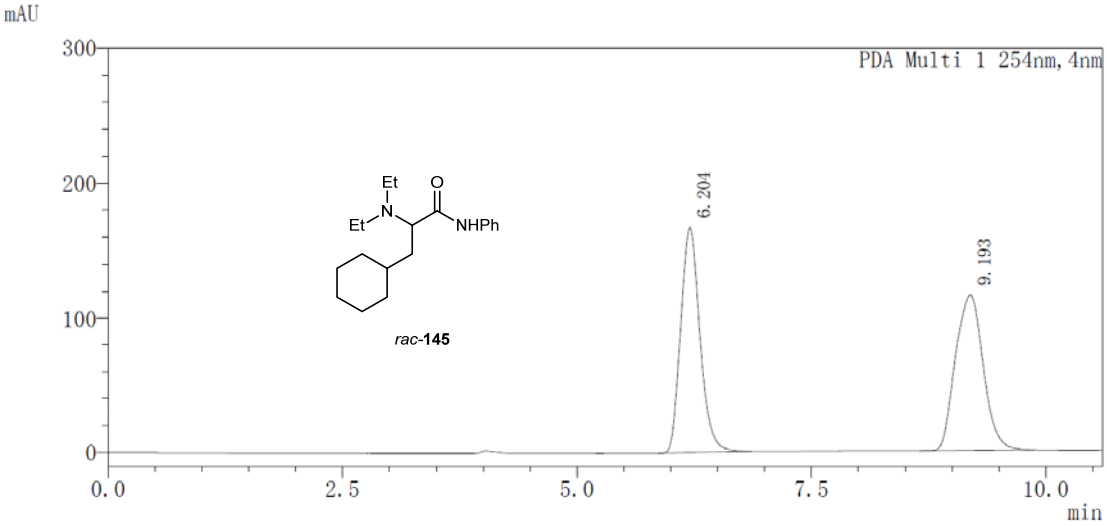
Peak#	Ret. Time	Area	Area%
1	15.403	6683462	49.967
2	17.524	6692418	50.033



Peak Table

PDA Ch1 254nm

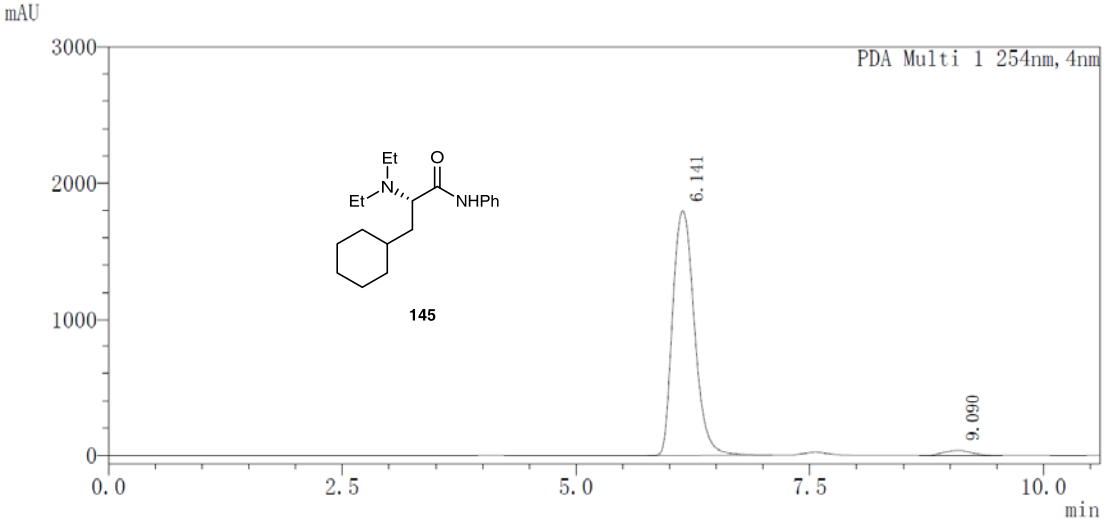
Peak#	Ret. Time	Area	Area%
1	15.429	375250	2.459
2	17.459	14884556	97.541



Peak Table

PDA Ch1 254nm

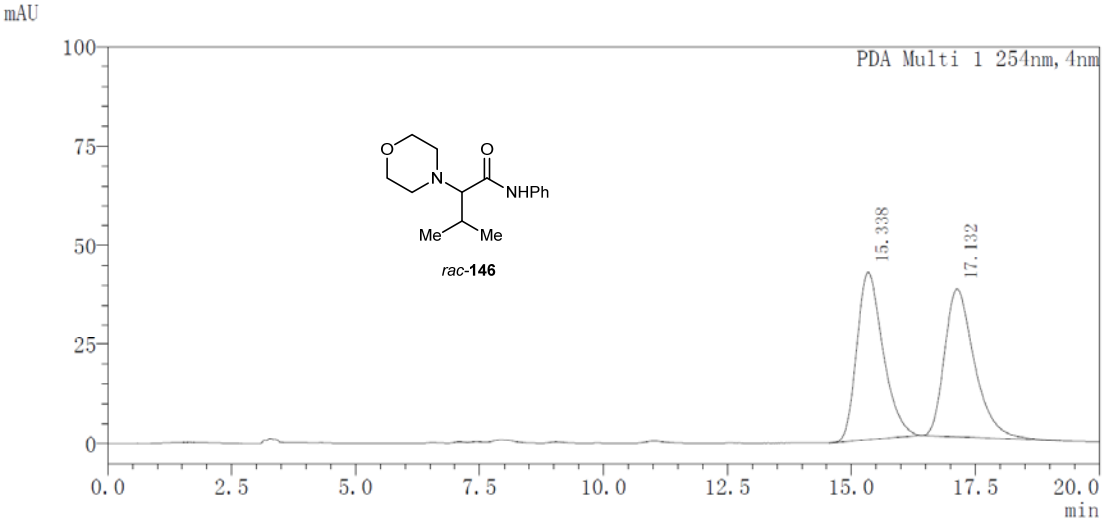
Peak#	Ret. Time	Area	Area%
1	6.204	2389889	50.006
2	9.193	2389314	49.994



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.141	28961799	97.614
2	9.090	708034	2.386



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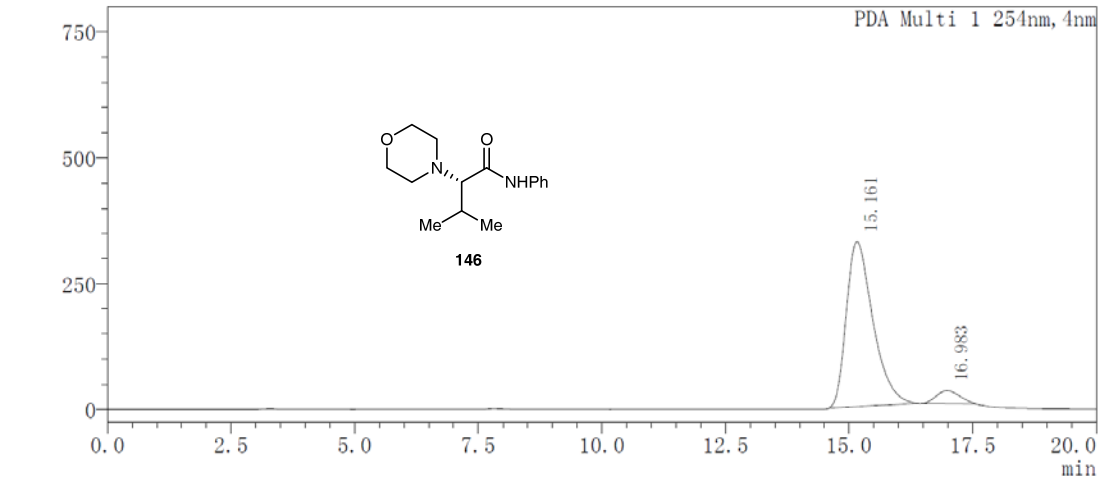
Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.338	1558062	49.822
2	17.132	1569164	50.178



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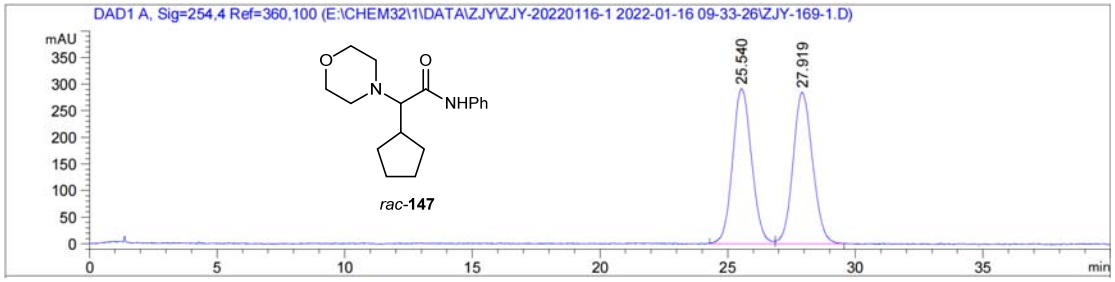
Peak Table

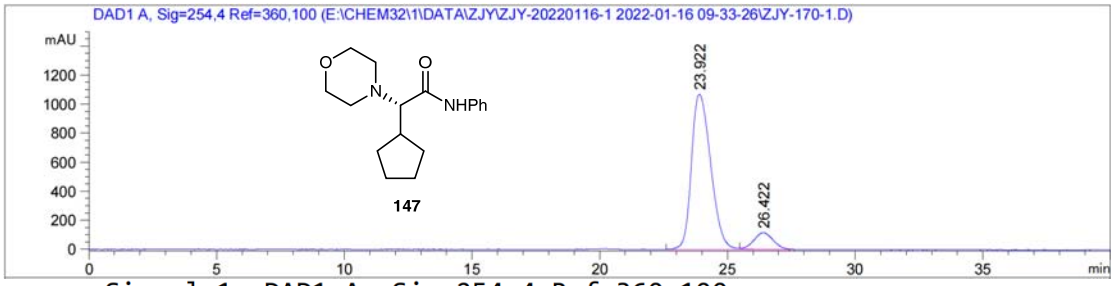
PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.161	12303889	93.664
2	16.983	832328	6.336



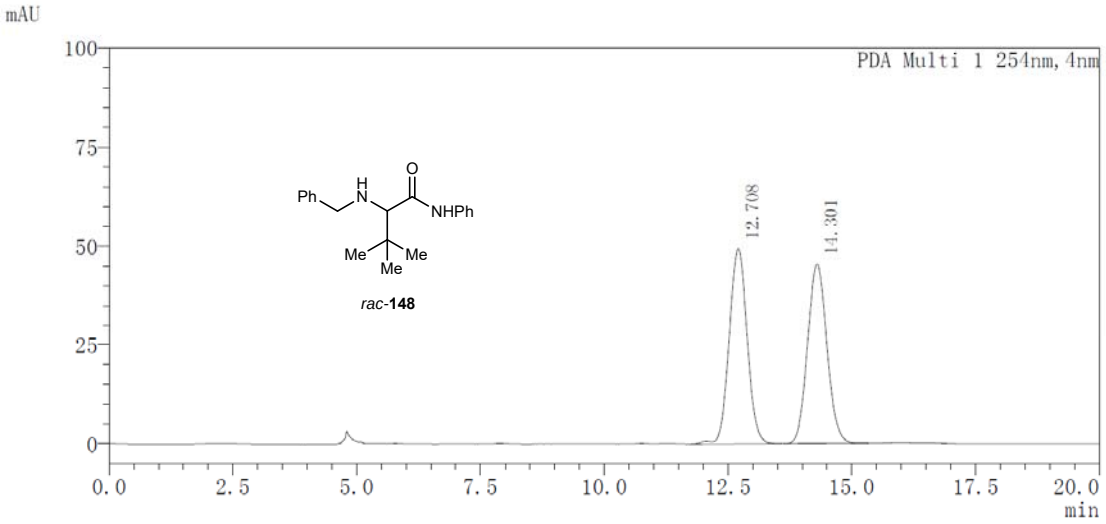
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.540	VV R	0.6187	1.50610e4	291.30521	49.9141
2	27.919	VV R	0.6523	1.51128e4	284.23712	50.0859
Totals :				3.01737e4	575.54233	



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

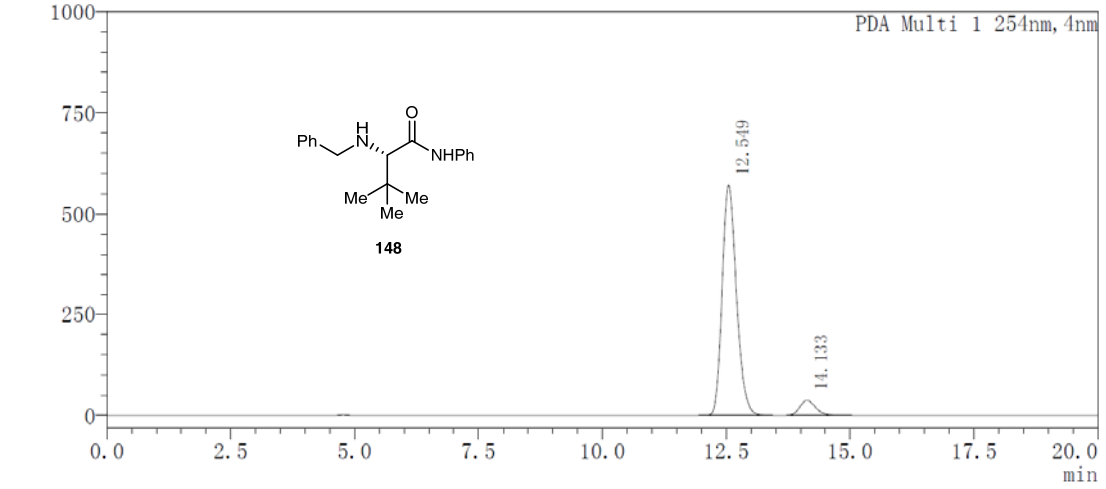
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.922	VV R	0.6298	5.60670e4	1068.59143	90.3760
2	26.422	VV E	0.6142	5970.45557	115.28724	9.6240
Totals :				6.20375e4	1183.87867	



Peak Table

PDA Ch1 254nm

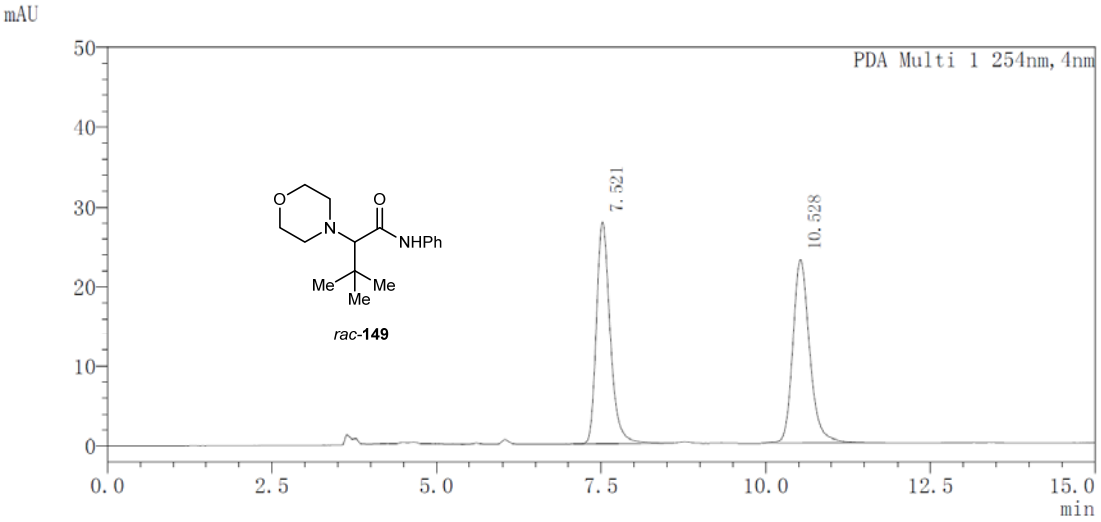
Peak#	Ret. Time	Area	Area%
1	12.708	1248778	50.250
2	14.301	1236352	49.750



Peak Table

PDA Ch1 254nm

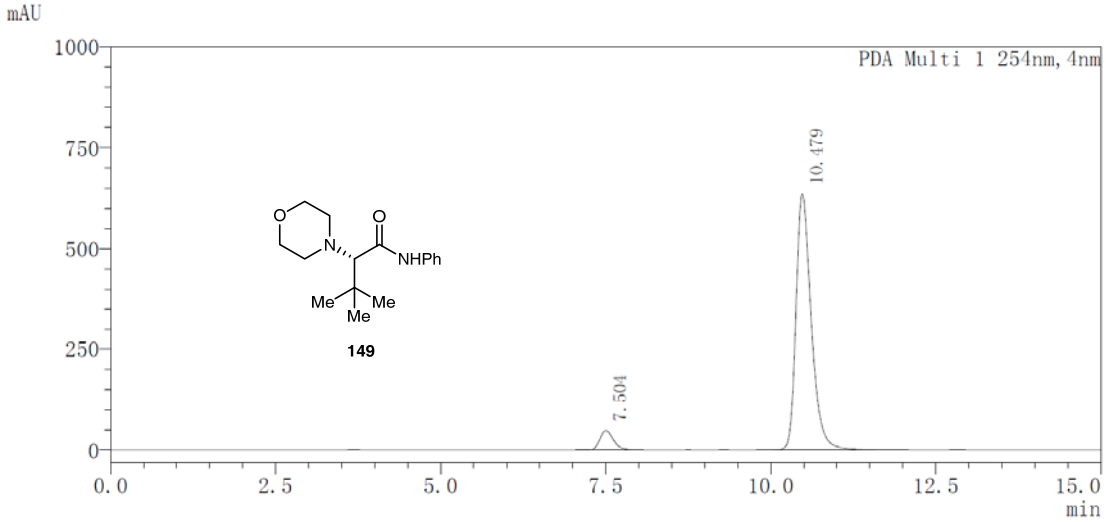
Peak#	Ret. Time	Area	Area%
1	12.549	11425235	93.386
2	14.133	809150	6.614



Peak Table

PDA Ch1 254nm

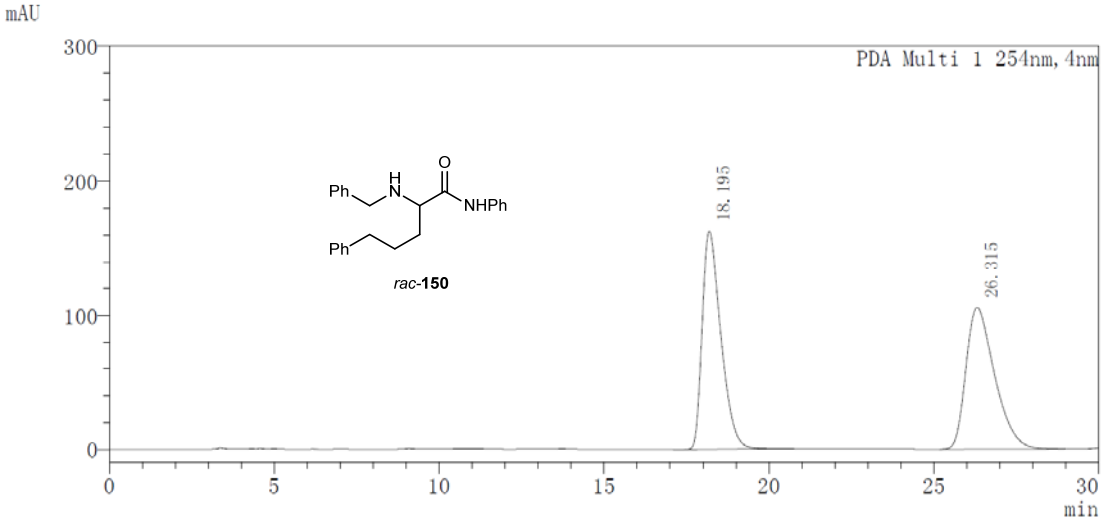
Peak#	Ret. Time	Area	Area%
1	7.521	405170	49.629
2	10.528	411226	50.371



Peak Table

PDA Ch1 254nm

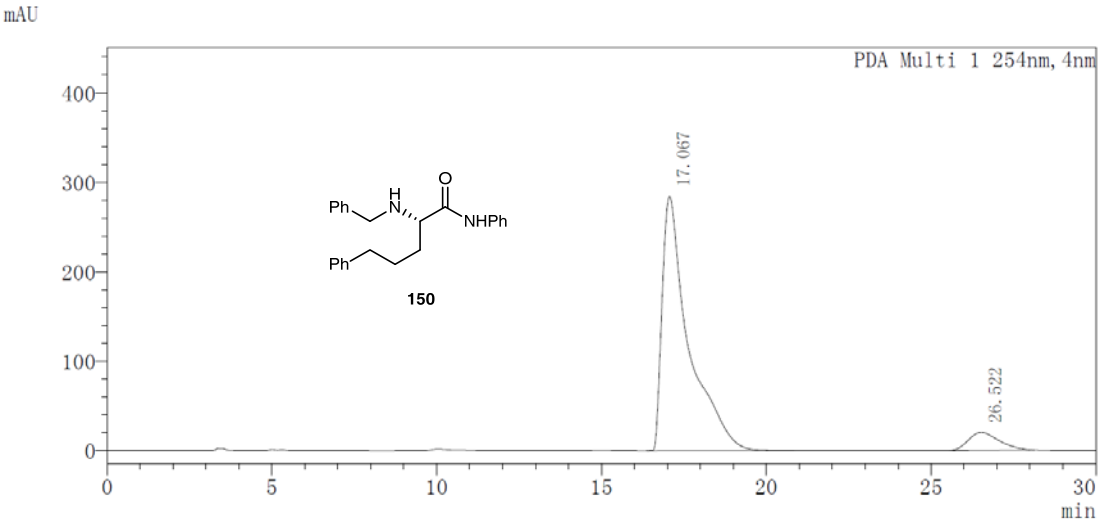
Peak#	Ret. Time	Area	Area%
1	7.504	699637	6.421
2	10.479	10196538	93.579



Peak Table

PDA Ch1 254nm

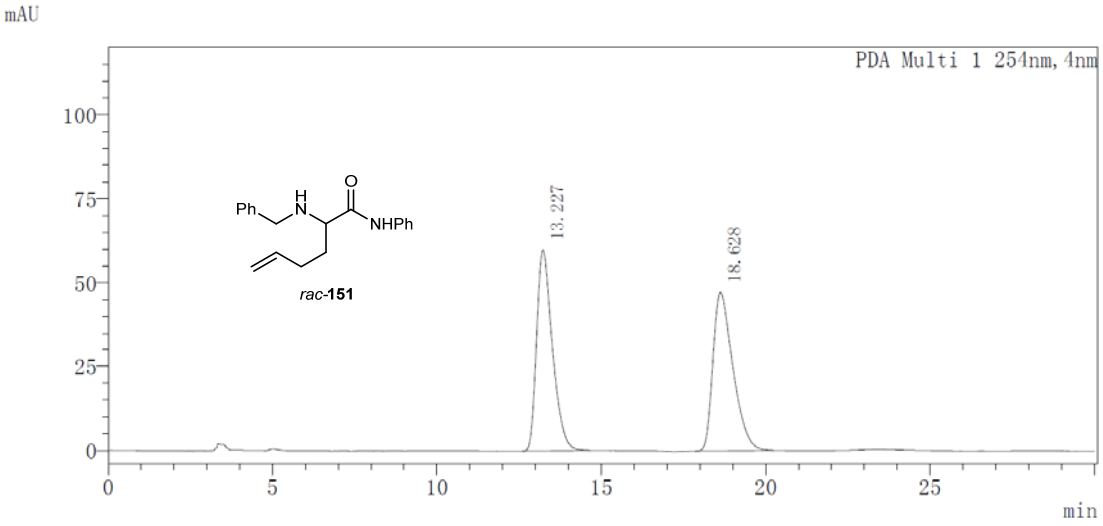
Peak#	Ret. Time	Area	Area%
1	18.195	6399270	50.022
2	26.315	6393727	49.978



Peak Table

PDA Ch1 254nm

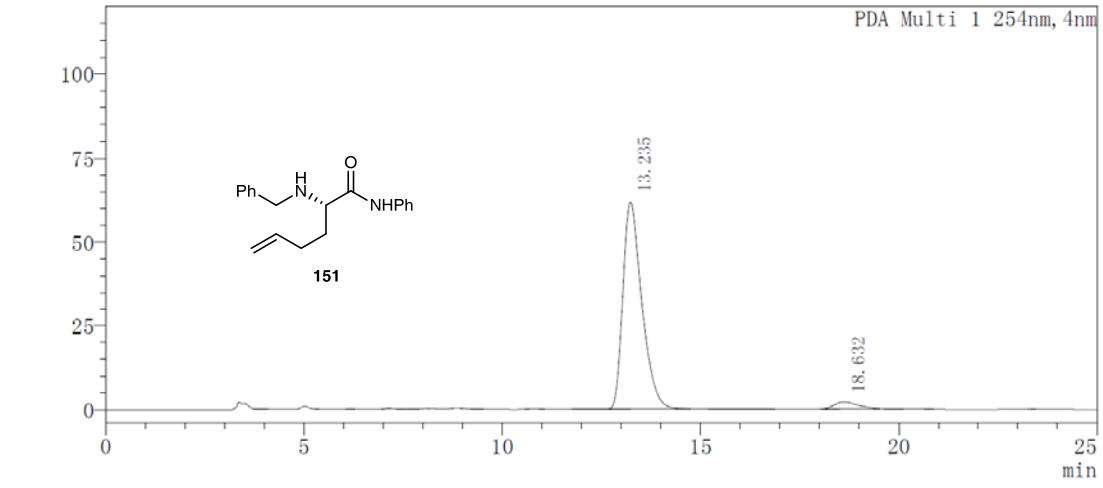
Peak#	Ret. Time	Area	Area%
1	17.067	15897674	92.571
2	26.522	1275830	7.429



Peak Table

PDA Ch1 254nm

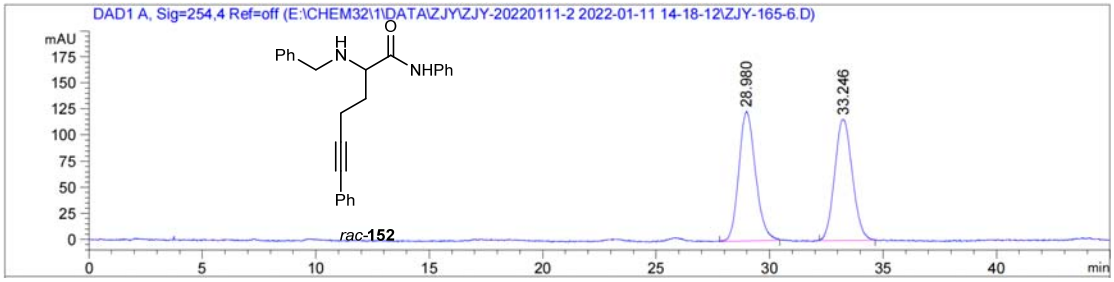
Peak#	Ret. Time	Area	Area%
1	13.227	1993921	49.965
2	18.628	1996734	50.035



Peak Table

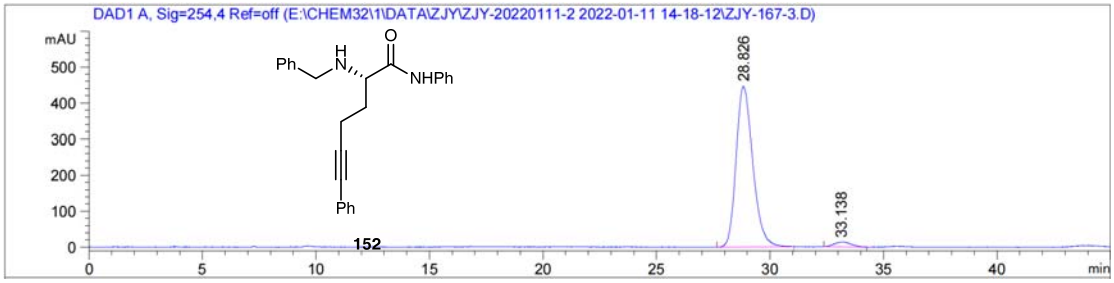
PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.235	2043468	96.142
2	18.632	82003	3.858



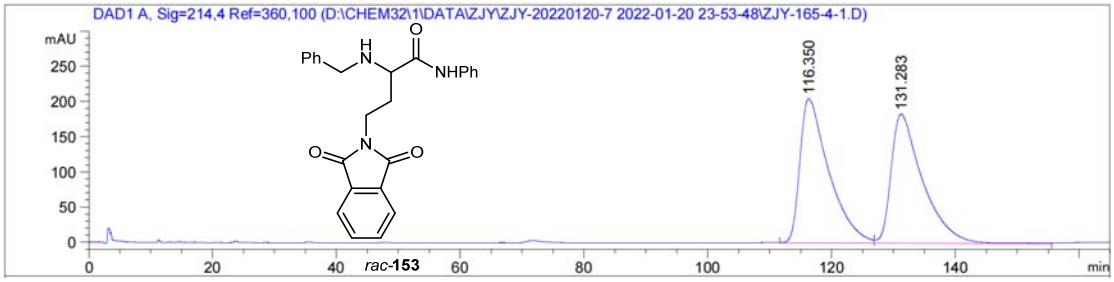
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.980	VV R	0.6027	6350.08594	124.04106	50.2241
2	33.246	VV R	0.6433	6293.41260	115.82341	49.7759
Totals :				1.26435e4	239.86447	



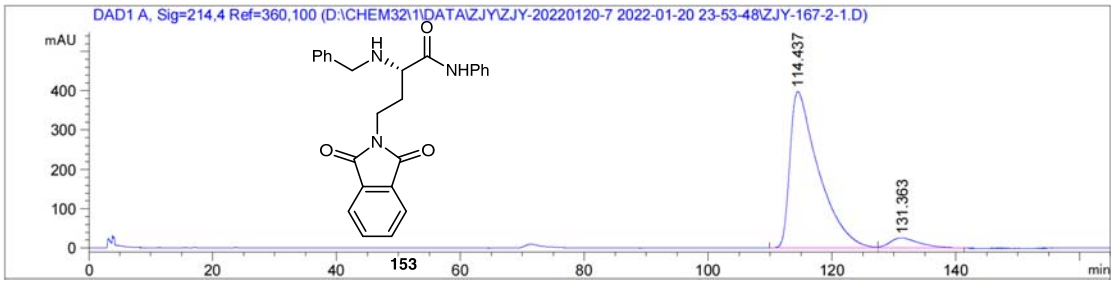
Signal 1: DAD1 A, Sig=254,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.826	MM R	0.8507	2.27975e4	446.64435	96.8208
2	33.138	MM R	0.8715	748.56732	14.31623	3.1792
Totals :				2.35461e4	460.96057	



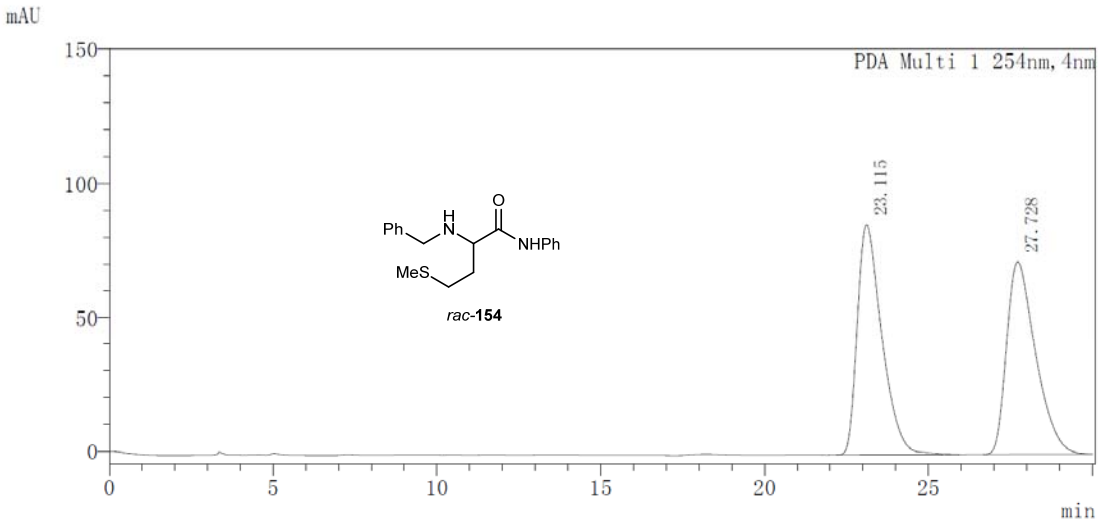
Signal 1: DAD1 A, Sig=214,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	116.350	MF R	5.3877	6.63504e4	205.25426	50.5108
2	131.283	FM R	5.8974	6.50083e4	183.71950	49.4892
Totals :				1.31359e5	388.97375	



Signal 1: DAD1 A, Sig=214,4 Ref=360,100

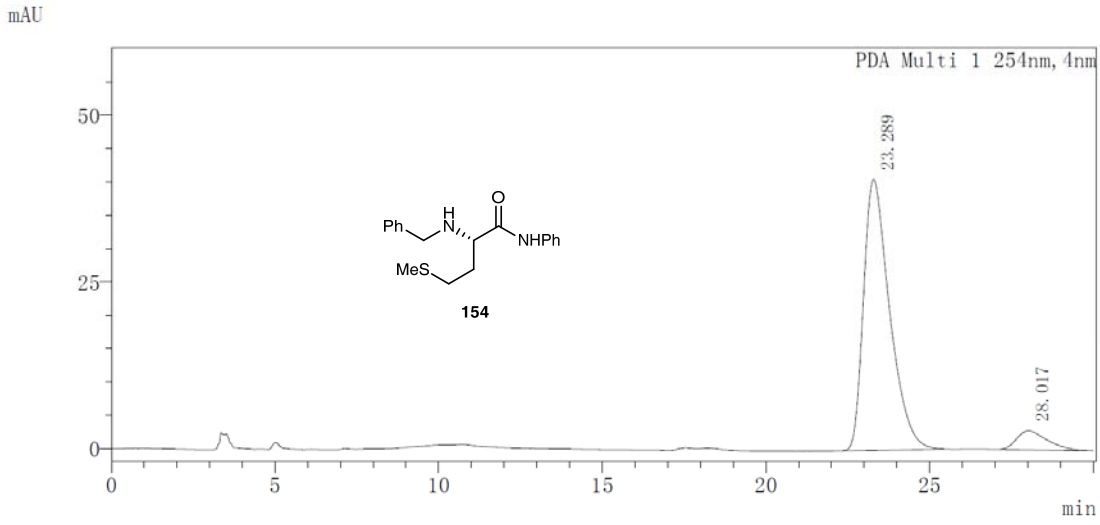
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	114.437	MF R	5.3782	1.28339e5	397.71045	93.8587
2	131.363	FM R	5.4602	8397.38574	25.63233	6.1413
Totals :				1.36736e5	423.34278	



Peak Table

PDA Ch1 254nm

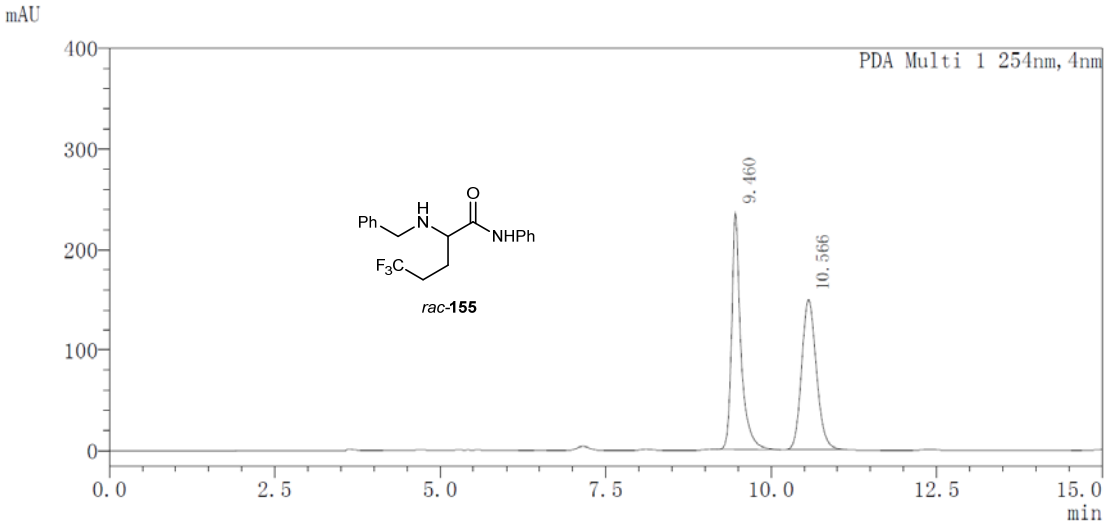
Peak#	Ret. Time	Area	Area%
1	23.115	4508230	50.330
2	27.728	4449096	49.670



Peak Table

PDA Ch1 254nm

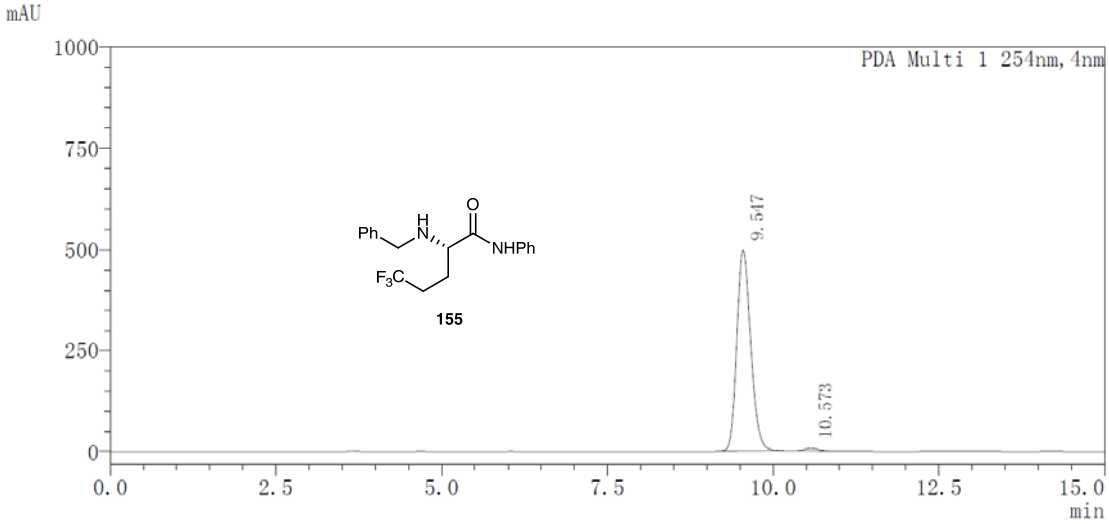
Peak#	Ret. Time	Area	Area%
1	23.289	2247854	92.564
2	28.017	180575	7.436



Peak Table

PDA Ch1 254nm

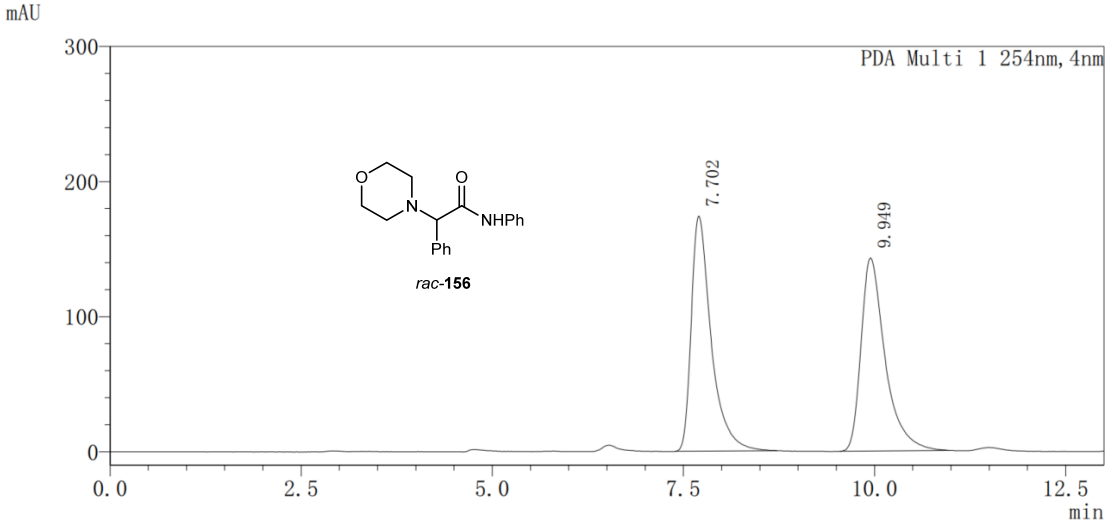
Peak#	Ret. Time	Area	Area%
1	9.460	2280176	49.711
2	10.566	2306682	50.289



Peak Table

PDA Ch1 254nm

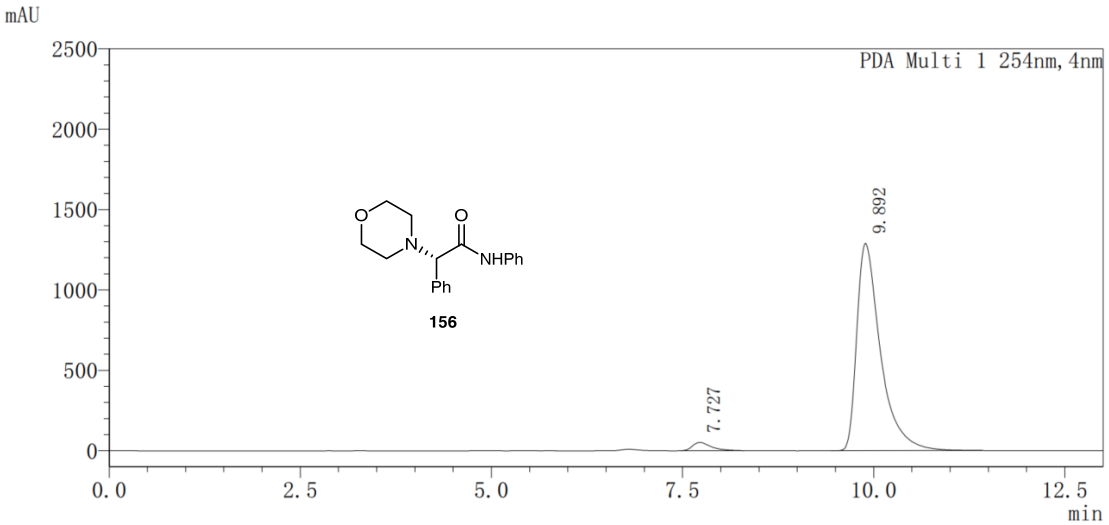
Peak#	Ret. Time	Area	Area%
1	9.547	7305774	98.680
2	10.573	97719	1.320



Peak Table

PDA Ch1 254nm

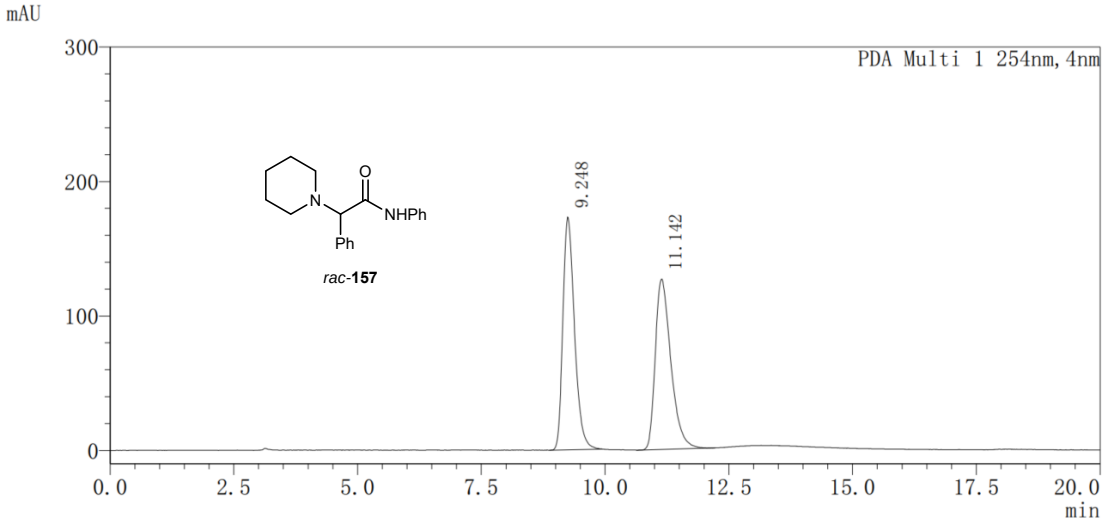
Peak#	Ret. Time	Area	Area%
1	7.702	3157955	50.145
2	9.949	3139668	49.855



Peak Table

PDA Ch1 254nm

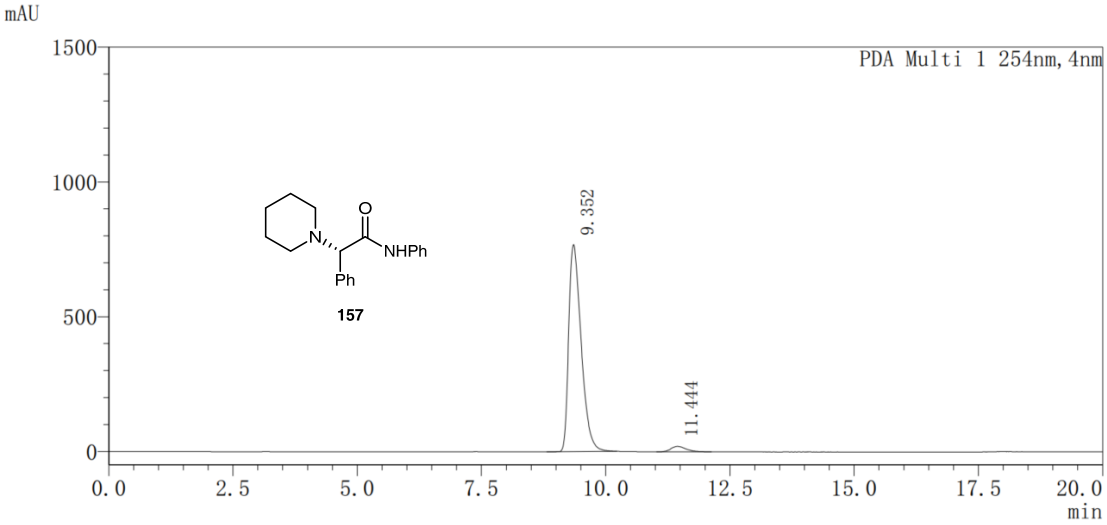
Peak#	Ret. Time	Area	Area%
1	7.727	872024	3.024
2	9.892	27967797	96.976



Peak Table

PDA Ch1 254nm

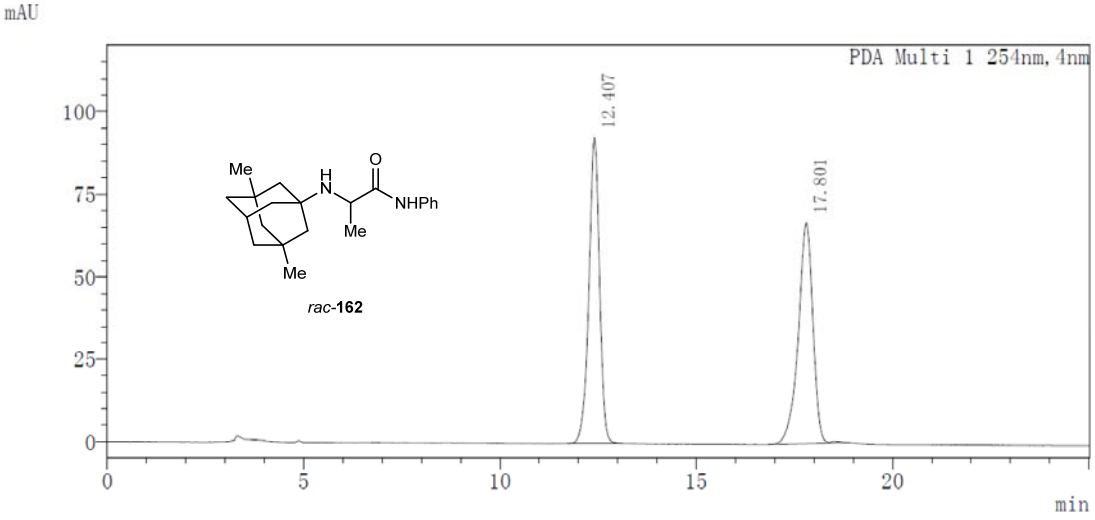
Peak#	Ret. Time	Area	Area%
1	9.248	2826268	50.426
2	11.142	2778484	49.574



Peak Table

PDA Ch1 254nm

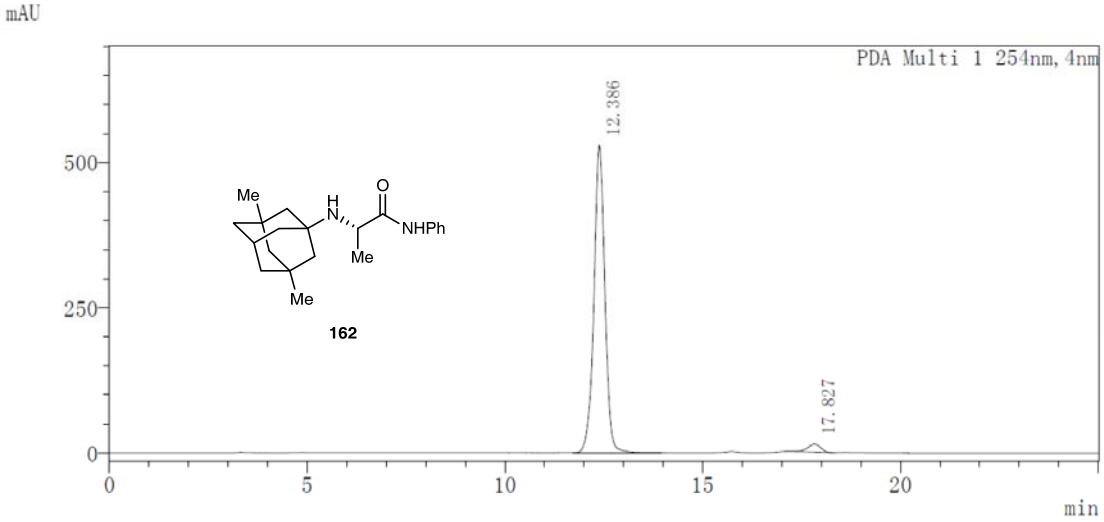
Peak#	Ret. Time	Area	Area%
1	9.352	13448780	96.890
2	11.444	431628	3.110



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.407	1744379	49.997
2	17.801	1744584	50.003

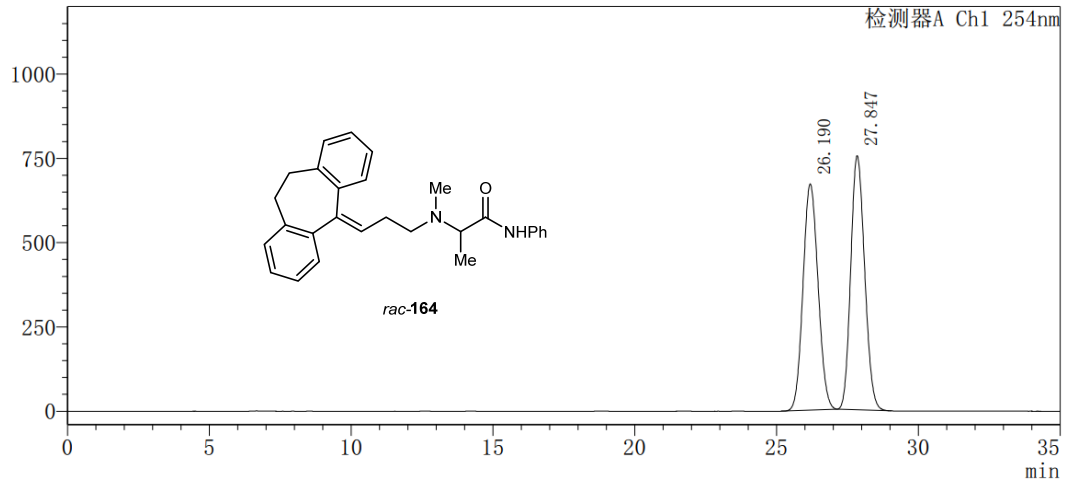


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.386	10192212	97.007
2	17.827	314473	2.993

mV

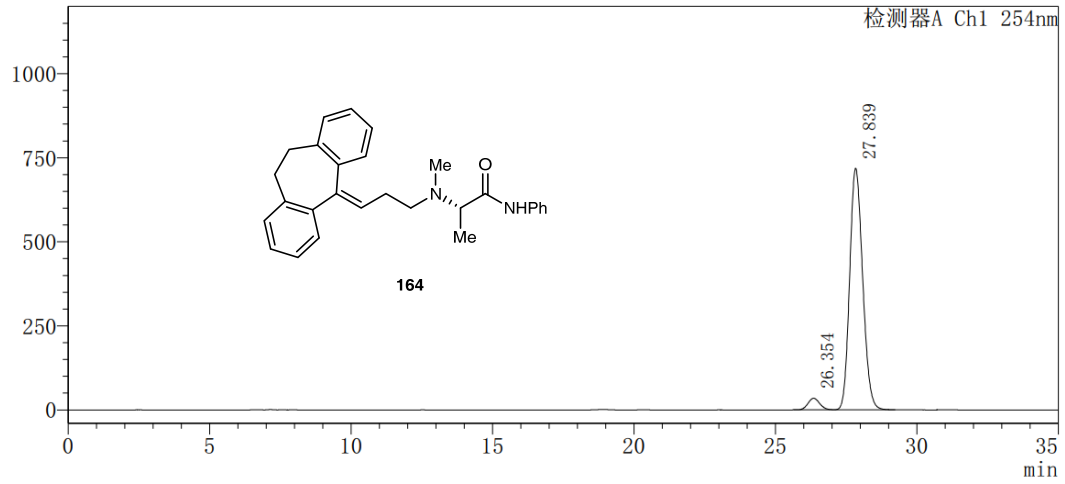


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	26.190	24752319	49.051
2	27.847	25710358	50.949

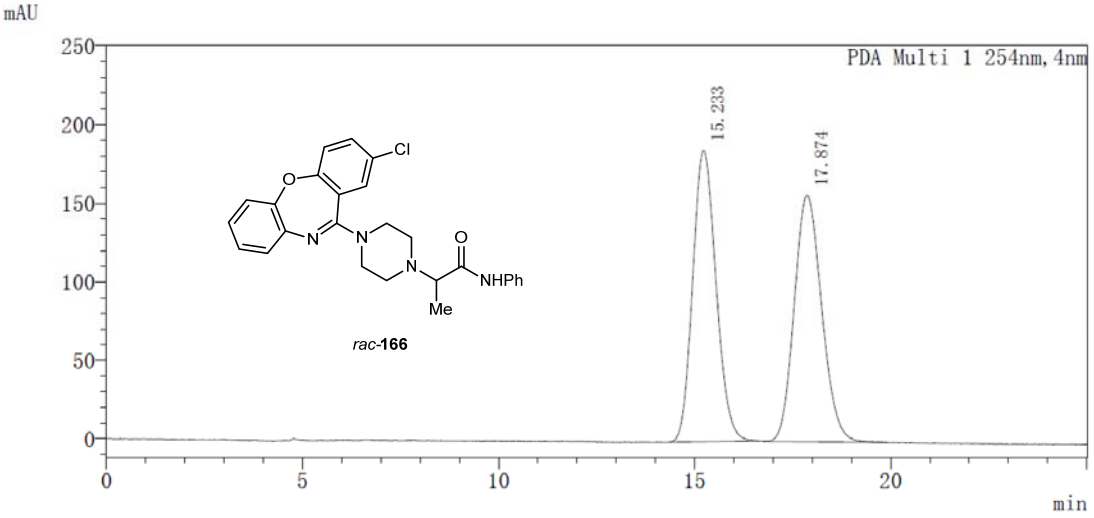
mV



Peak Table

检测器A Ch1 254nm

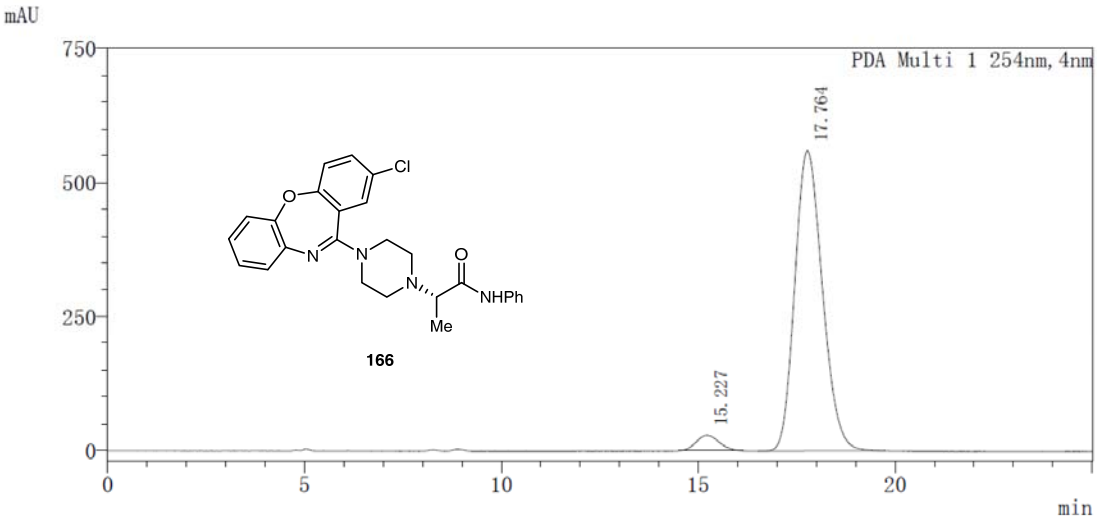
Peak#	Ret. Time	Area	Area%
1	26.354	989134	4.135
2	27.839	22929786	95.865



Peak Table

PDA Ch1 254nm

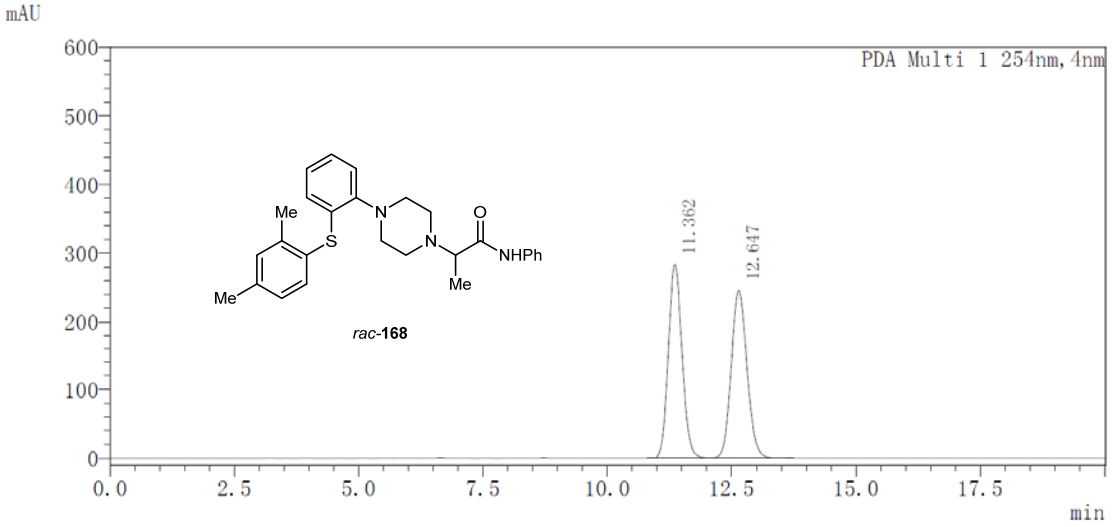
Peak#	Ret. Time	Area	Area%
1	15.233	7695743	50.095
2	17.874	7666650	49.905



Peak Table

PDA Ch1 254nm

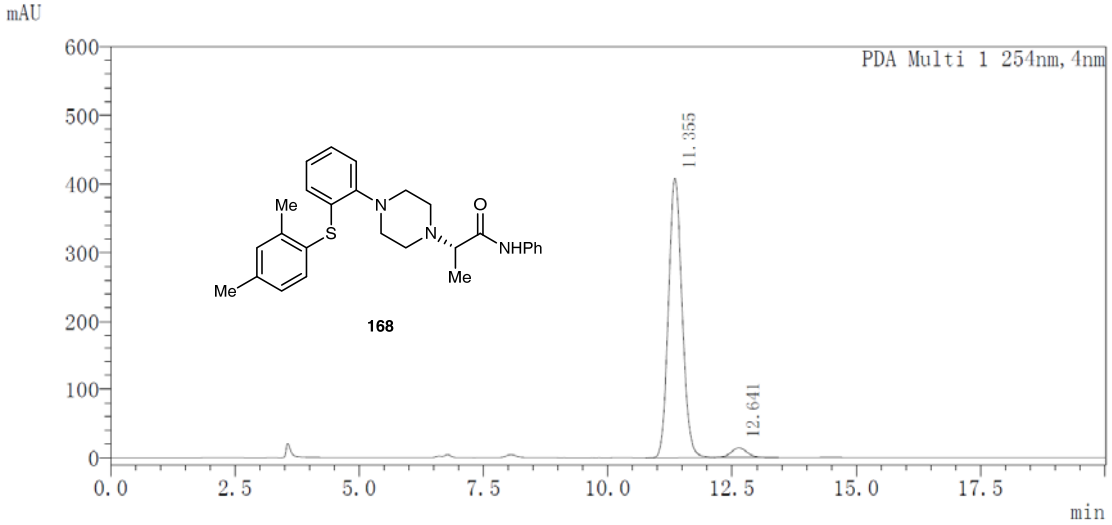
Peak#	Ret. Time	Area	Area%
1	15.227	1169321	4.111
2	17.764	27271092	95.889



Peak Table

PDA Ch1 254nm

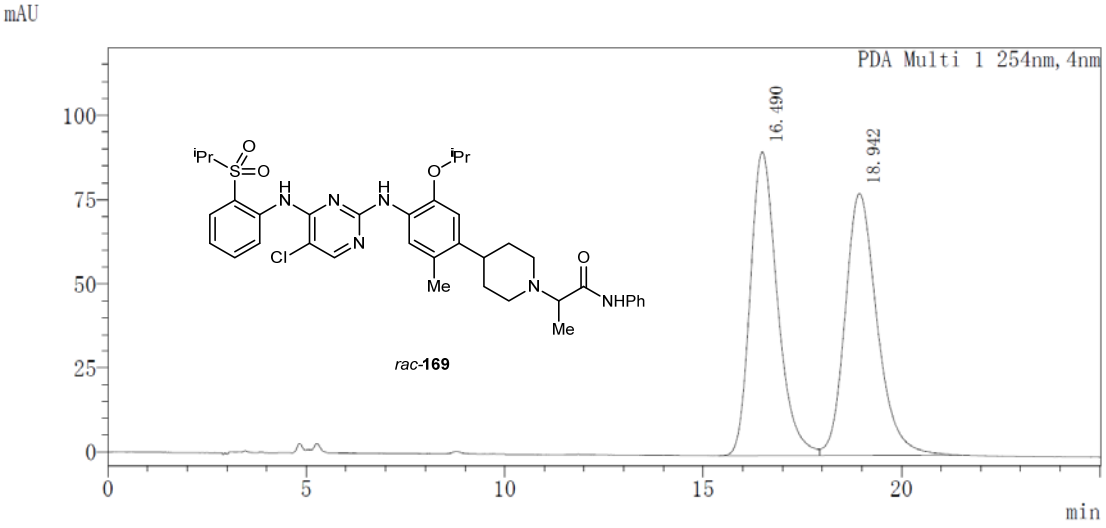
Peak#	Ret. Time	Area	Area%
1	11.362	5418268	50.047
2	12.647	5408082	49.953



Peak Table

PDA Ch1 254nm

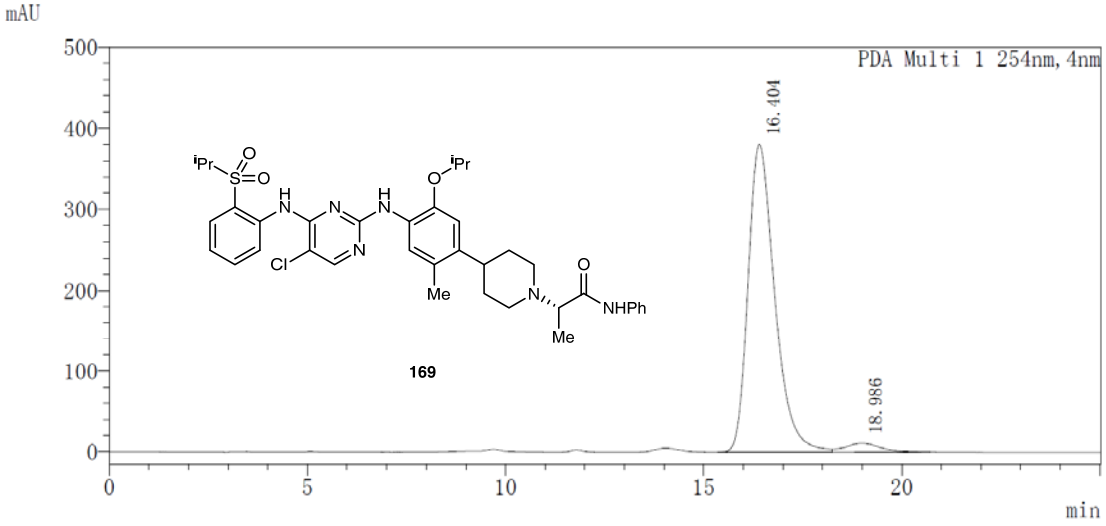
Peak#	Ret. Time	Area	Area%
1	11.355	7815810	95.863
2	12.641	337320	4.137



Peak Table

PDA Ch1 254nm

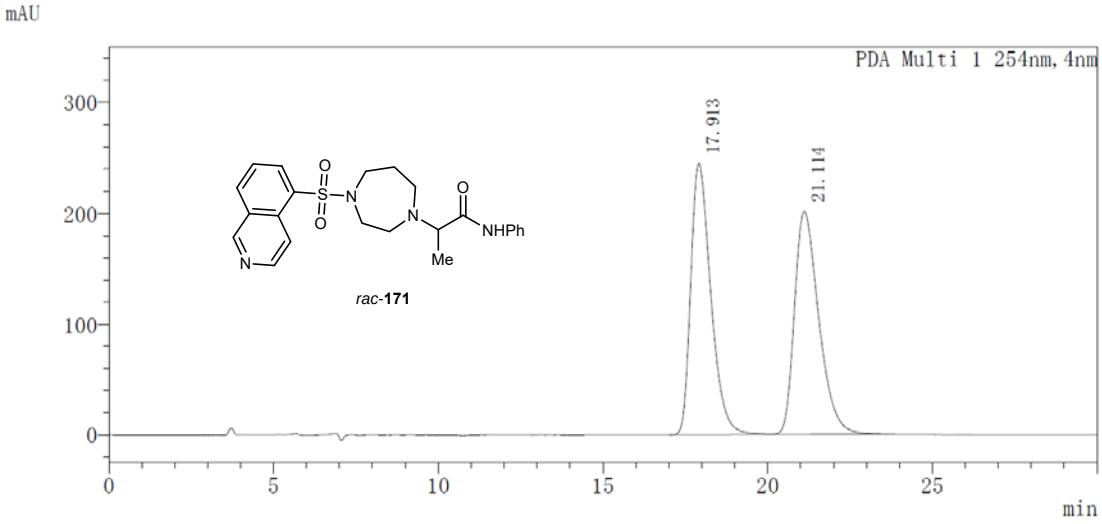
Peak#	Ret. Time	Area	Area%
1	16.490	4295079	49.589
2	18.942	4366296	50.411



Peak Table

PDA Ch1 254nm

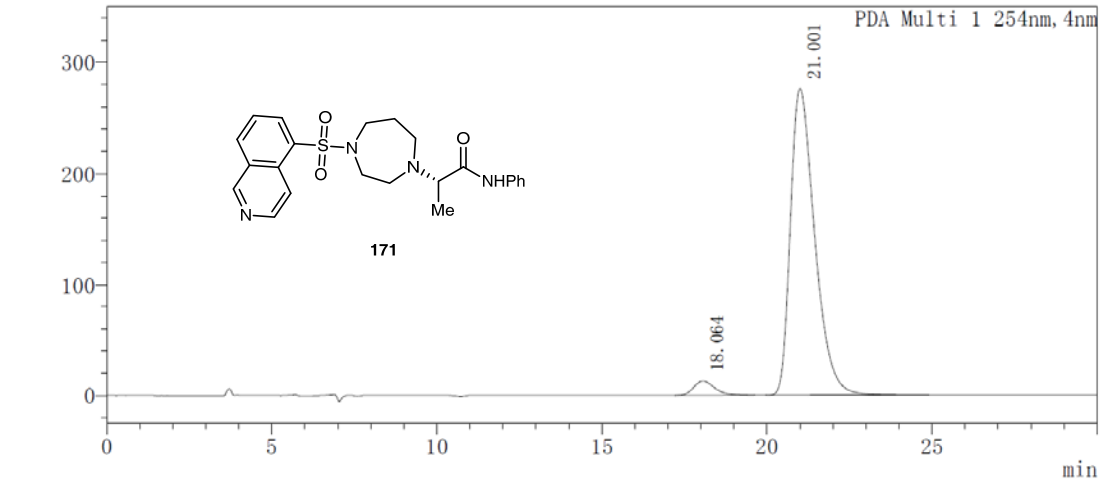
Peak#	Ret. Time	Area	Area%
1	16.404	17837631	96.317
2	18.986	682076	3.683



Peak Table

PDA Ch1 254nm

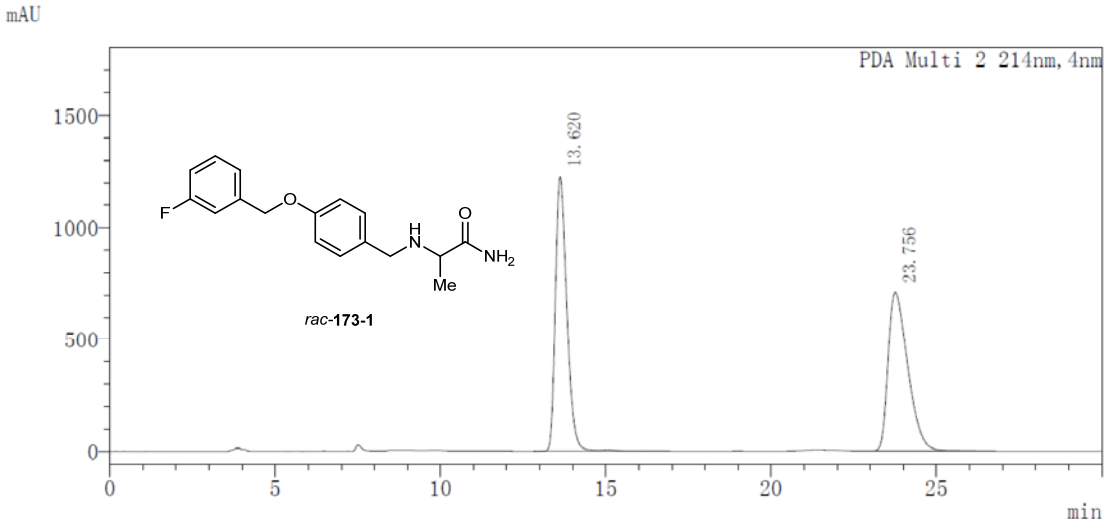
Peak#	Ret. Time	Area	Area%
1	17.913	10379042	50.031
2	21.114	10366361	49.969



Peak Table

PDA Ch1 254nm

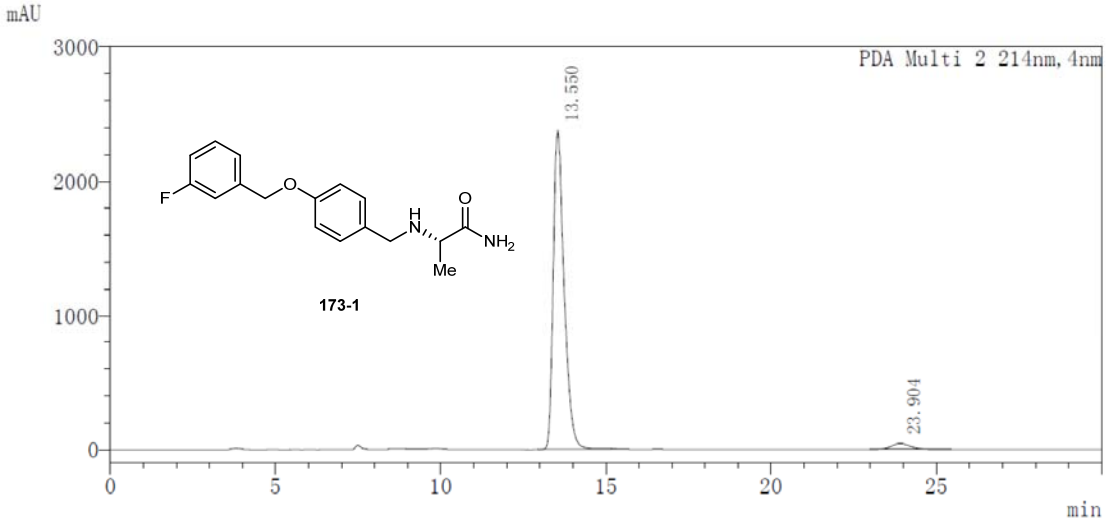
Peak#	Ret. Time	Area	Area%
1	18.064	573881	3.905
2	21.001	14124011	96.095



Peak Table

PDA Ch2 214nm

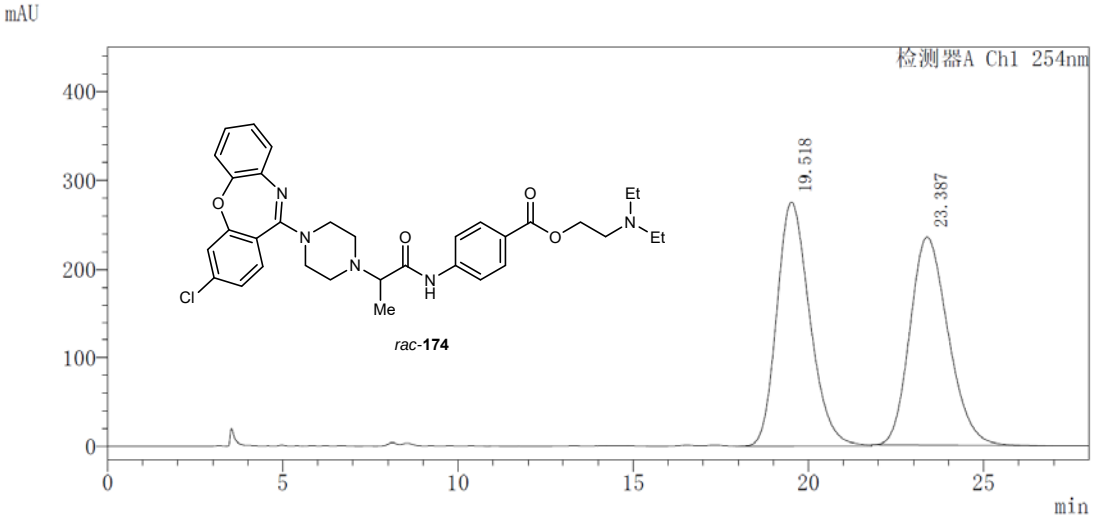
Peak#	Ret. Time	Area	Area%
1	13.620	29527502	50.081
2	23.756	29432228	49.919



Peak Table

PDA Ch2 214nm

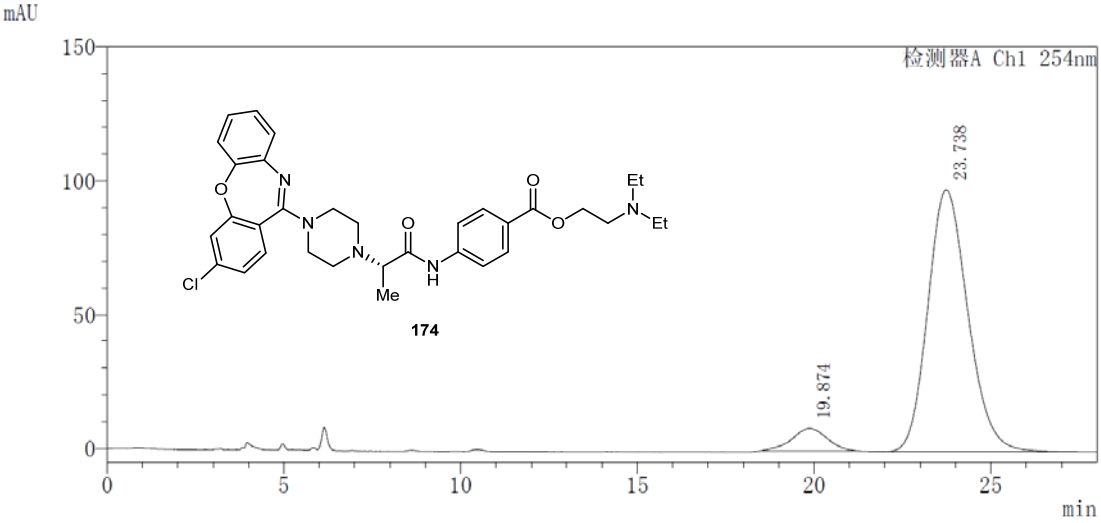
Peak#	Ret. Time	Area	Area%
1	13.550	54650896	96.895
2	23.904	1751264	3.105



Peak Table

检测器A Ch1 254nm

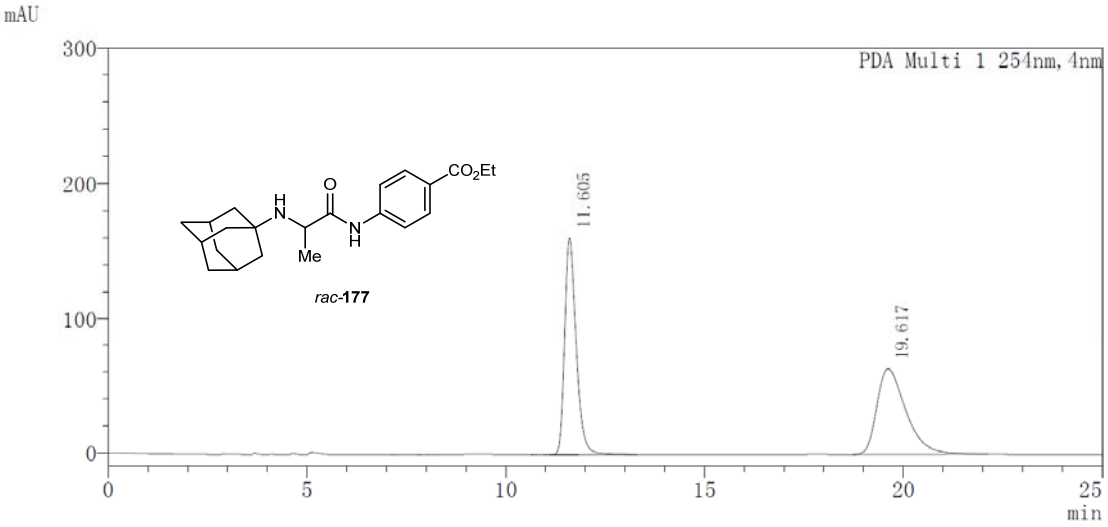
Peak#	Ret. Time	Area	Area%
1	19.518	18091353	50.313
2	23.387	17866146	49.687



Peak Table

检测器A Ch1 254nm

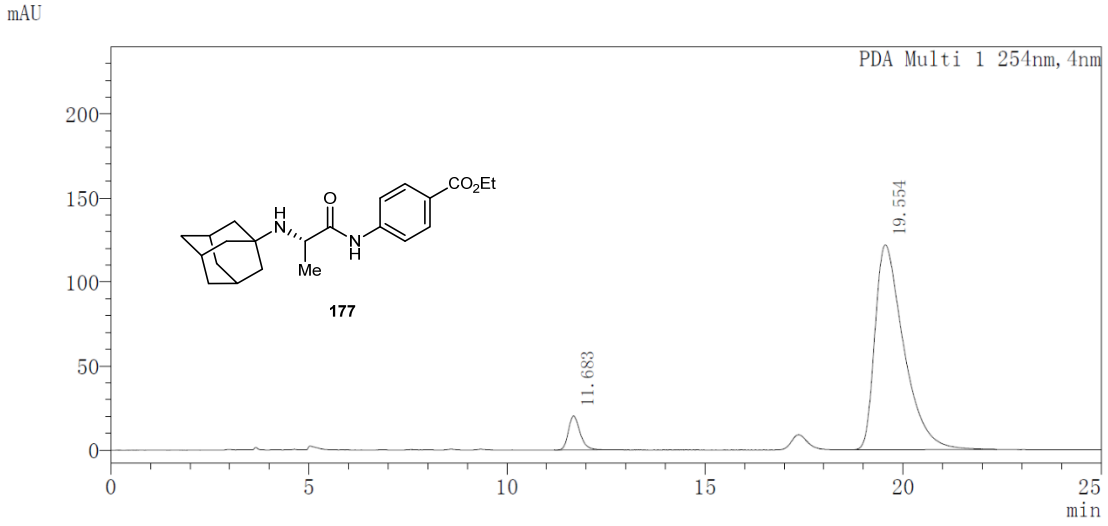
Peak#	Ret. Time	Area	Area%
1	19.874	573417	6.938
2	23.738	7691609	93.062



Peak Table

PDA Ch1 254nm

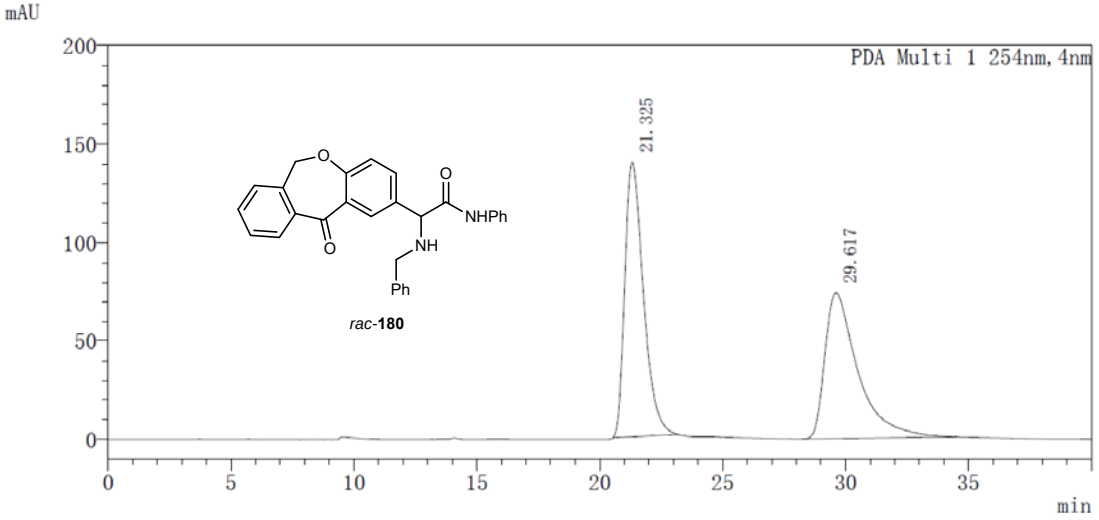
Peak#	Ret. Time	Area	Area%
1	11.605	3223584	50.112
2	19.617	3209126	49.888



Peak Table

PDA Ch1 254nm

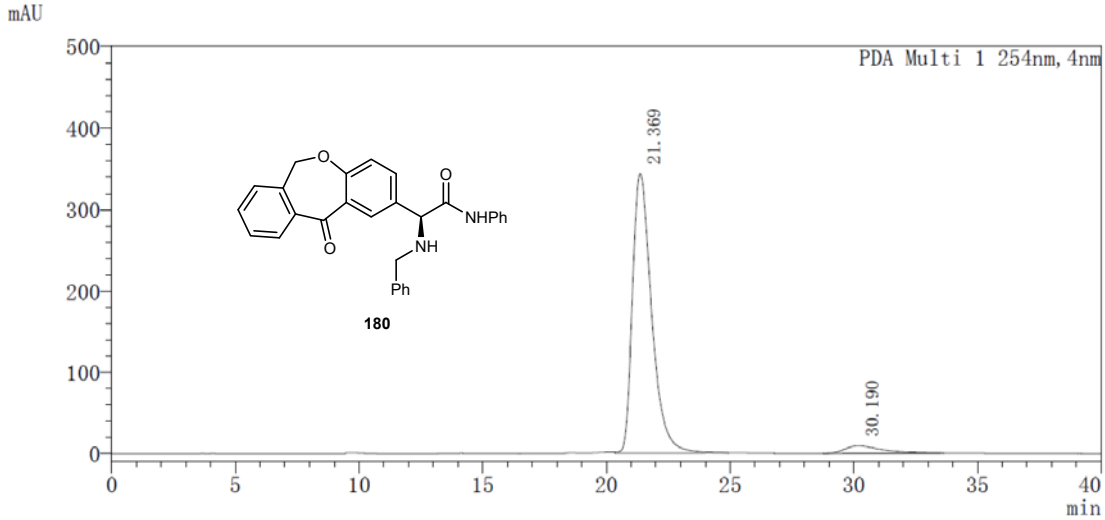
Peak#	Ret. Time	Area	Area%
1	11.683	410423	6.241
2	19.554	6166254	93.759



Peak Table

PDA Ch1 254nm

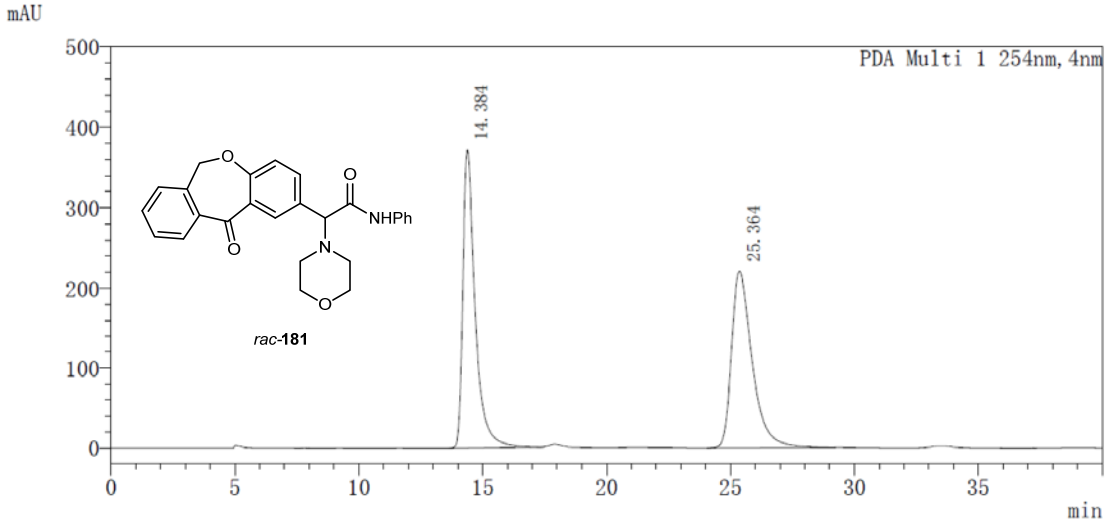
Peak#	Ret. Time	Area	Area%
1	21.325	7340316	51.438
2	29.617	6929819	48.562



Peak Table

PDA Ch1 254nm

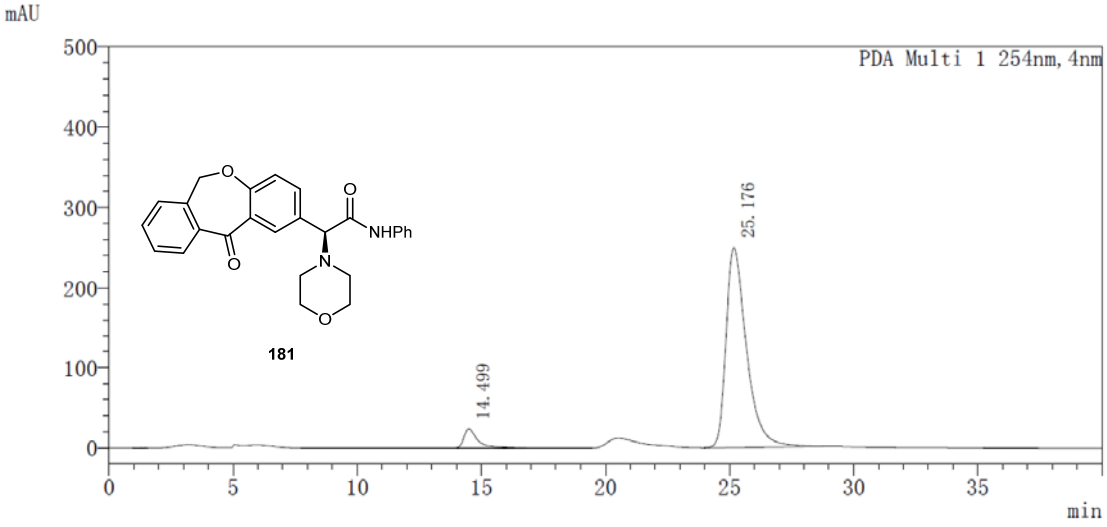
Peak#	Ret. Time	Area	Area%
1	21.369	18584713	95.139
2	30.190	949520	4.861



Peak Table

PDA Ch1 254nm

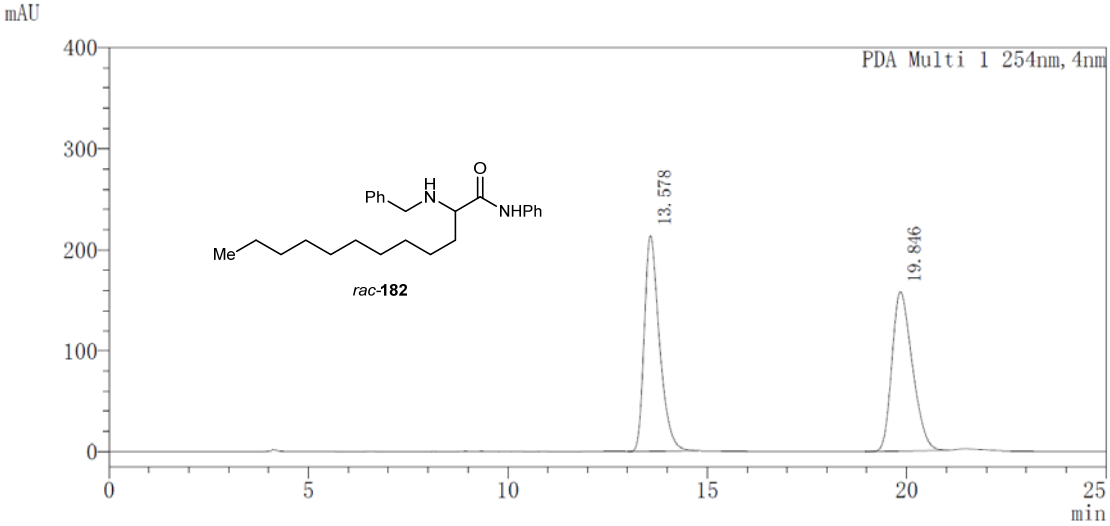
Peak#	Ret. Time	Area	Area%
1	14.384	12813988	50.025
2	25.364	12801177	49.975



Peak Table

PDA Ch1 254nm

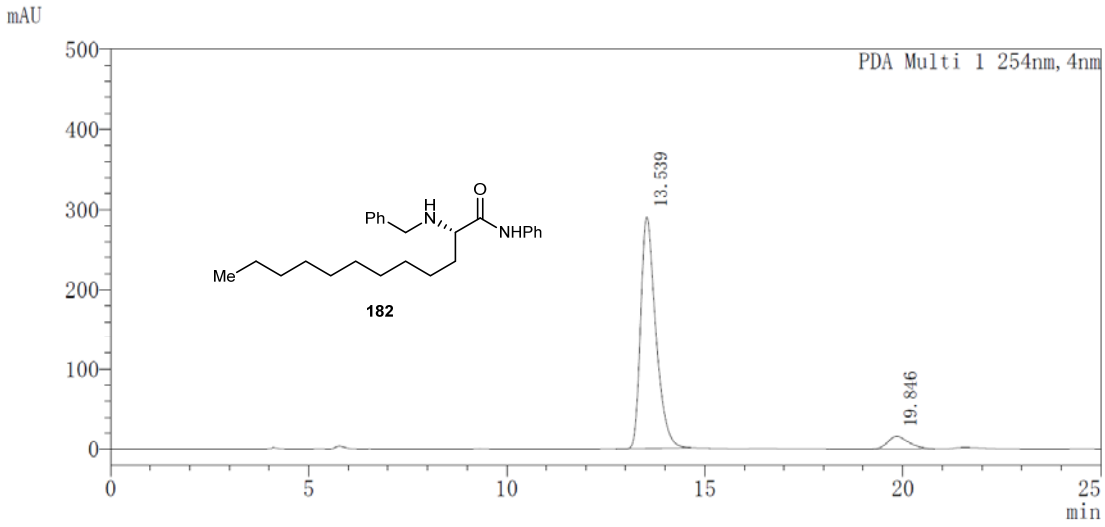
Peak#	Ret. Time	Area	Area%
1	14.499	936657	6.157
2	25.176	14276441	93.843



Peak Table

PDA Ch1 254nm

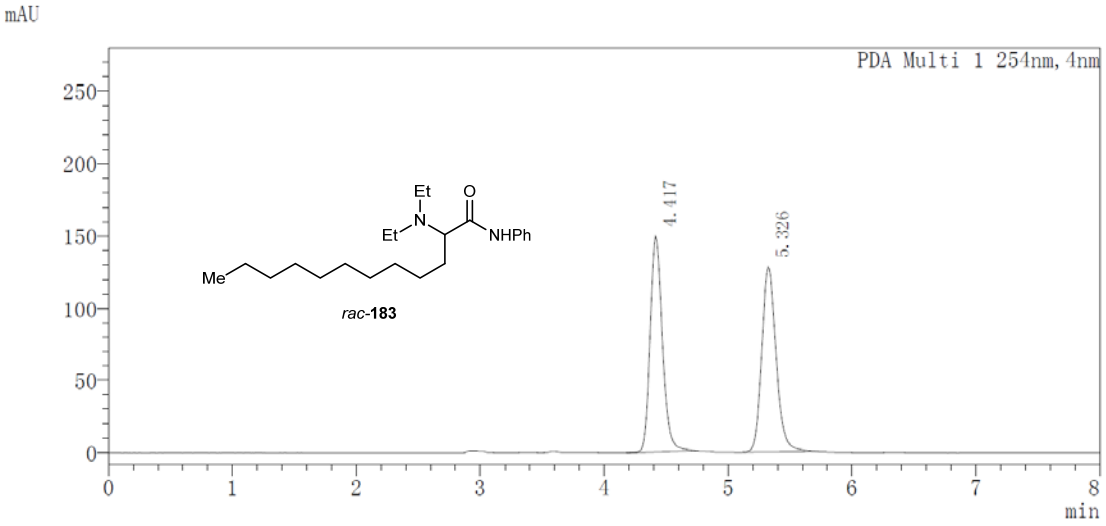
Peak#	Ret. Time	Area	Area%
1	13.578	5667260	50.143
2	19.846	5634880	49.857



Peak Table

PDA Ch1 254nm

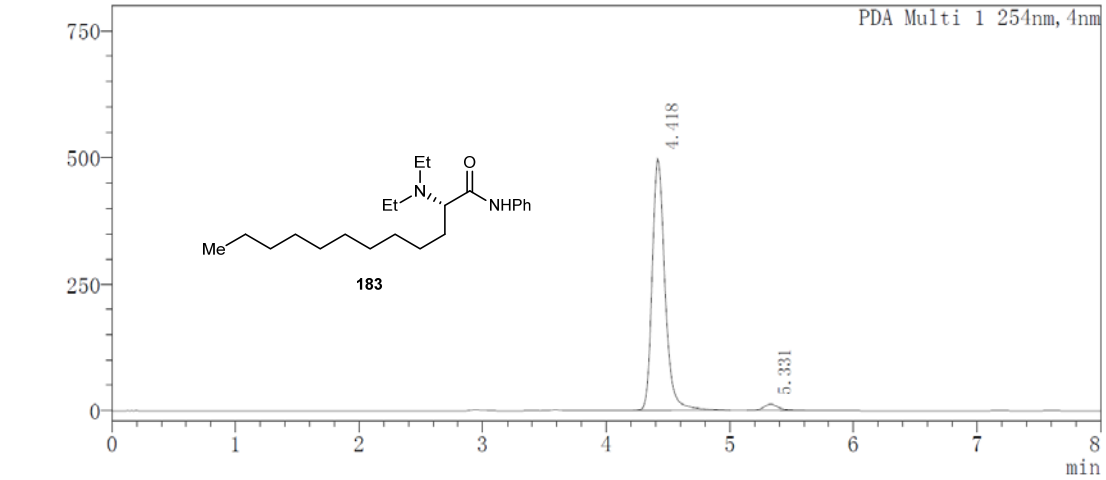
Peak#	Ret. Time	Area	Area%
1	13.539	7693575	93.195
2	19.846	561815	6.805



Peak Table

PDA Ch1 254nm

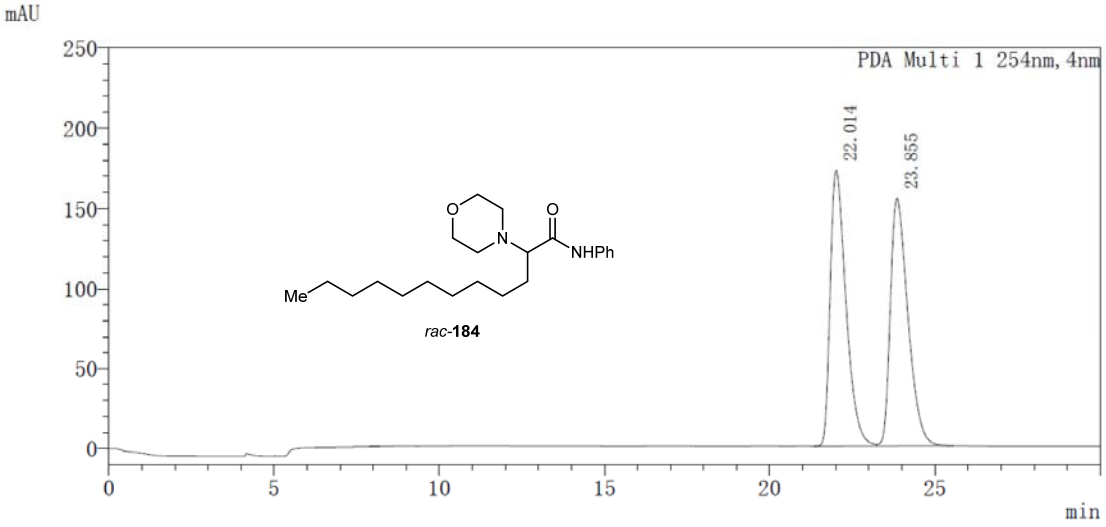
Peak#	Ret. Time	Area	Area%
1	4.417	1031804	49.879
2	5.326	1036816	50.121



Peak Table

PDA Ch1 254nm

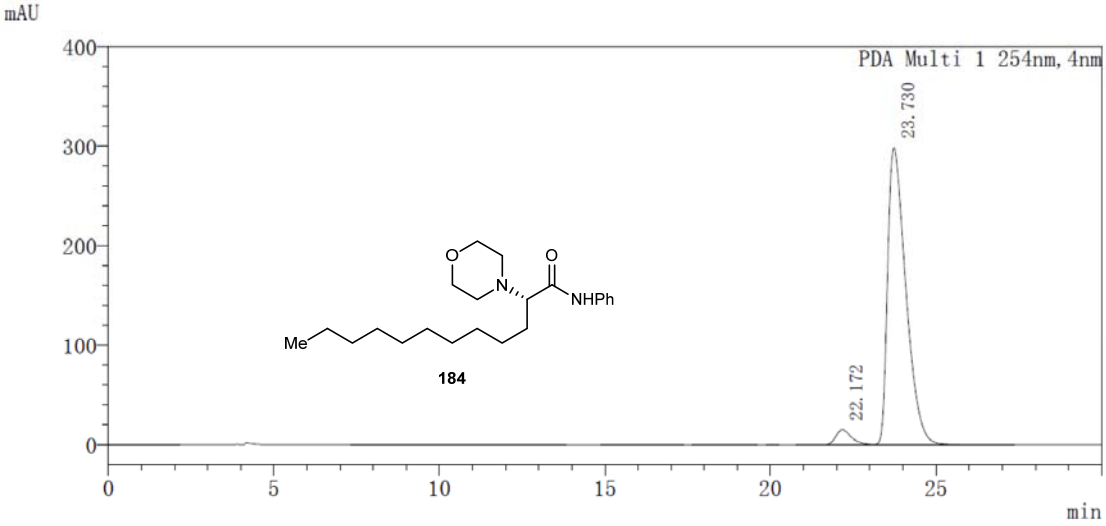
Peak#	Ret. Time	Area	Area%
1	4.418	3589423	97.382
2	5.331	96502	2.618



Peak Table

PDA Ch1 254nm

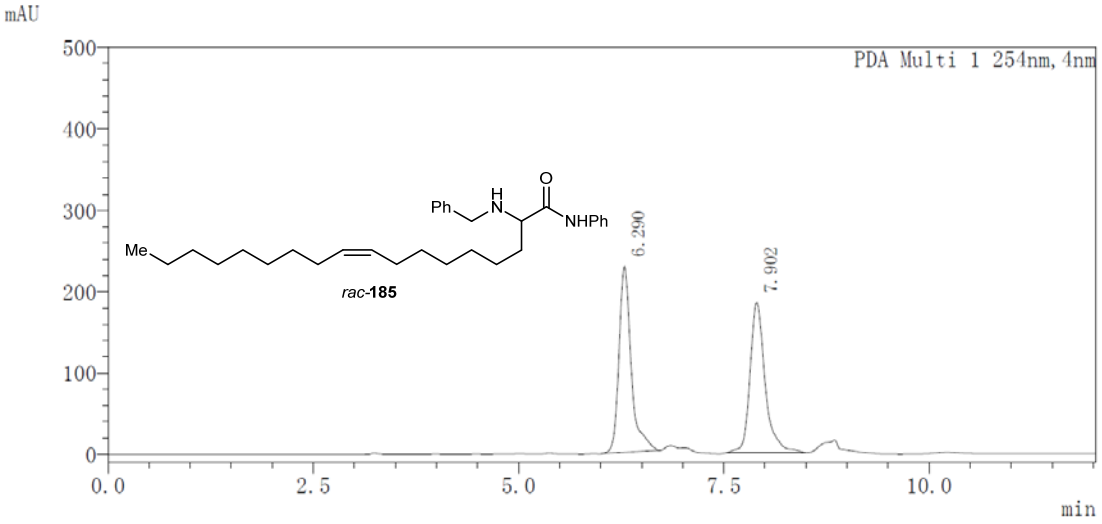
Peak#	Ret. Time	Area	Area%
1	22.014	5717016	50.044
2	23.855	5706855	49.956



Peak Table

PDA Ch1 254nm

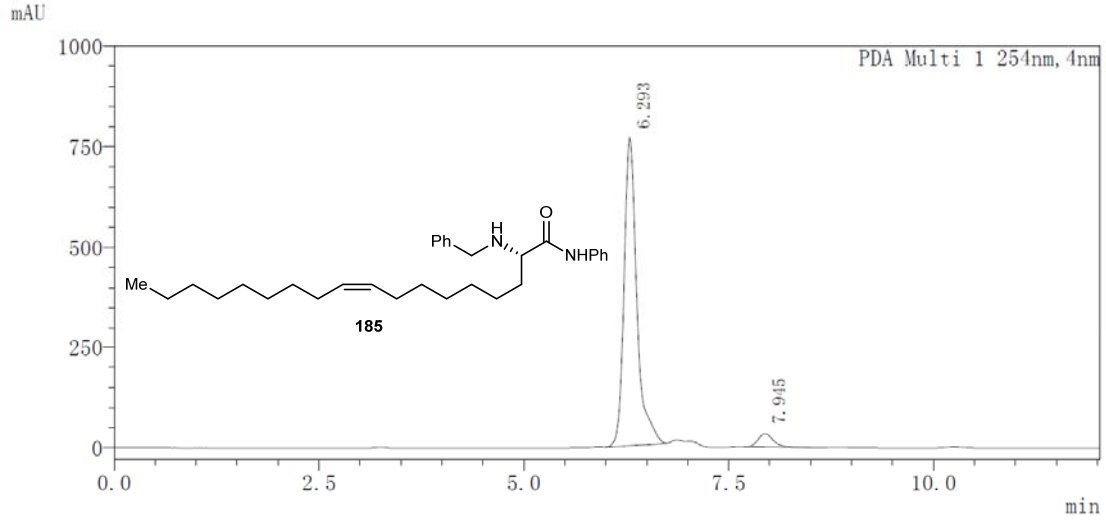
Peak#	Ret. Time	Area	Area%
1	22.172	487750	4.106
2	23.730	11390373	95.894



Peak Table

PDA Ch1 254nm

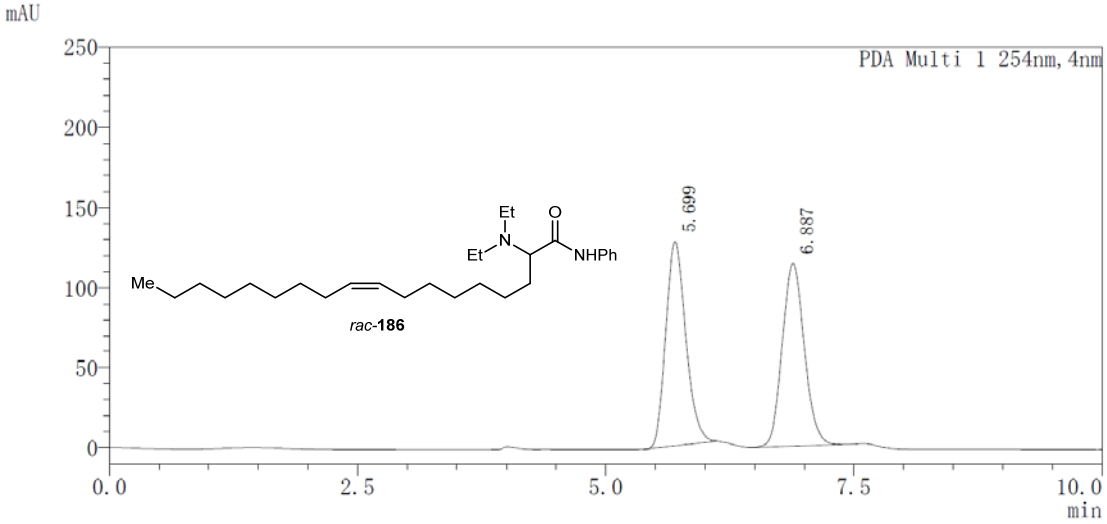
Peak#	Ret. Time	Area	Area%
1	6.290	2385352	50.146
2	7.902	2371441	49.854



Peak Table

PDA Ch1 254nm

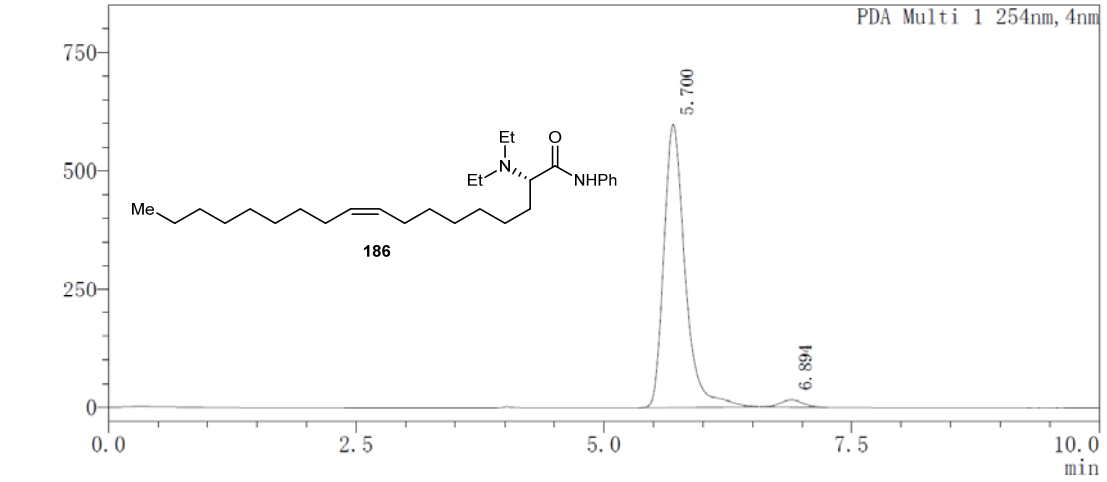
Peak#	Ret. Time	Area	Area%
1	6.293	8366430	95.097
2	7.945	431362	4.903



Peak Table

PDA Ch1 254nm

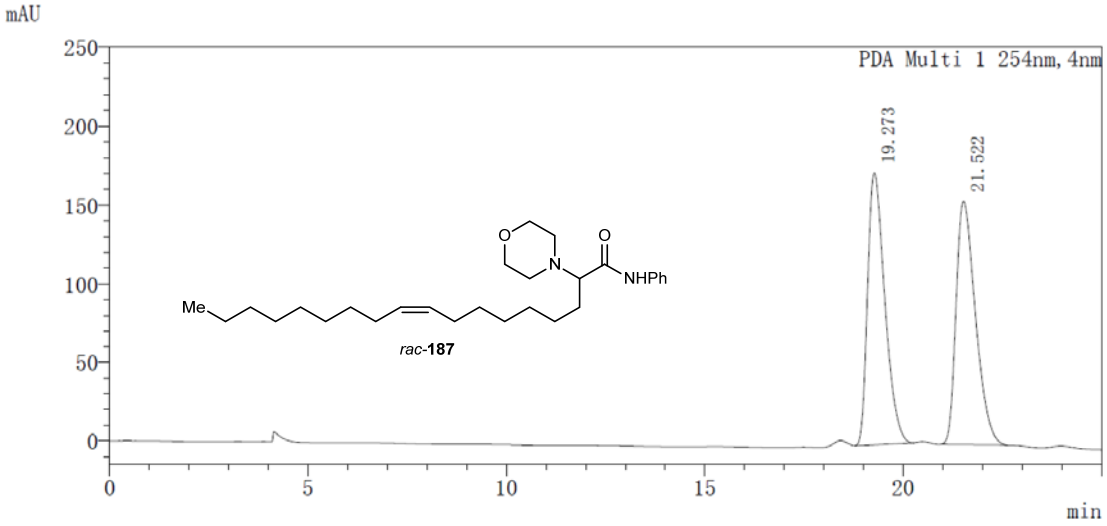
Peak#	Ret. Time	Area	Area%
1	5.699	1791760	50.321
2	6.887	1768885	49.679



Peak Table

PDA Ch1 254nm

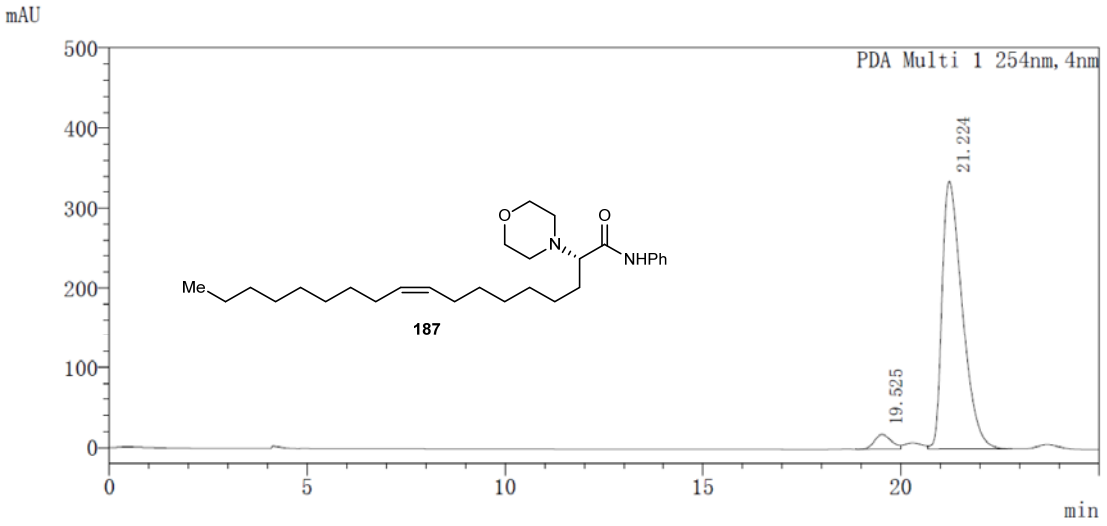
Peak#	Ret. Time	Area	Area%
1	5.700	8920396	97.451
2	6.894	233308	2.549



Peak Table

PDA Ch1 254nm

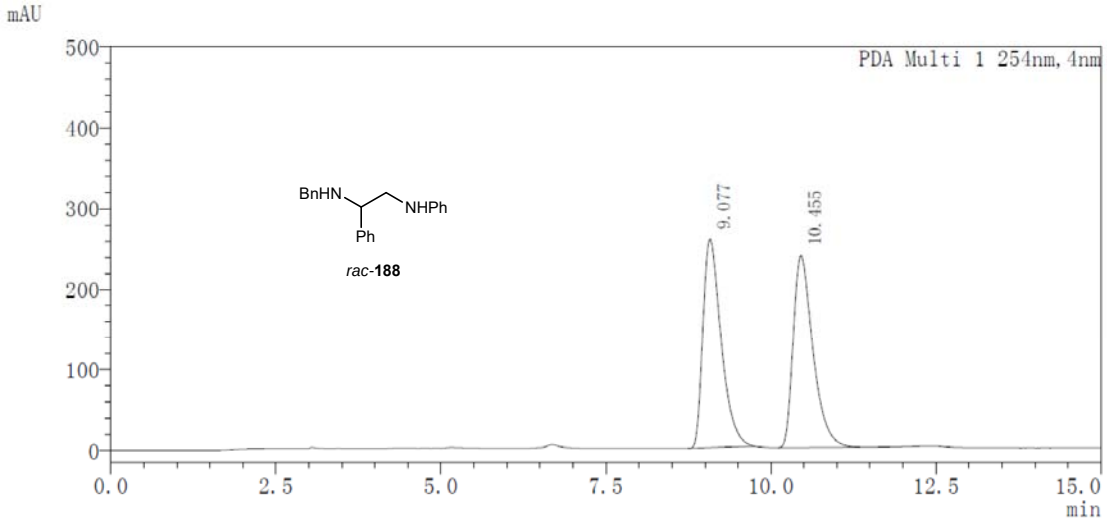
Peak#	Ret. Time	Area	Area%
1	19.273	5213927	49.819
2	21.522	5251917	50.181



Peak Table

PDA Ch1 254nm

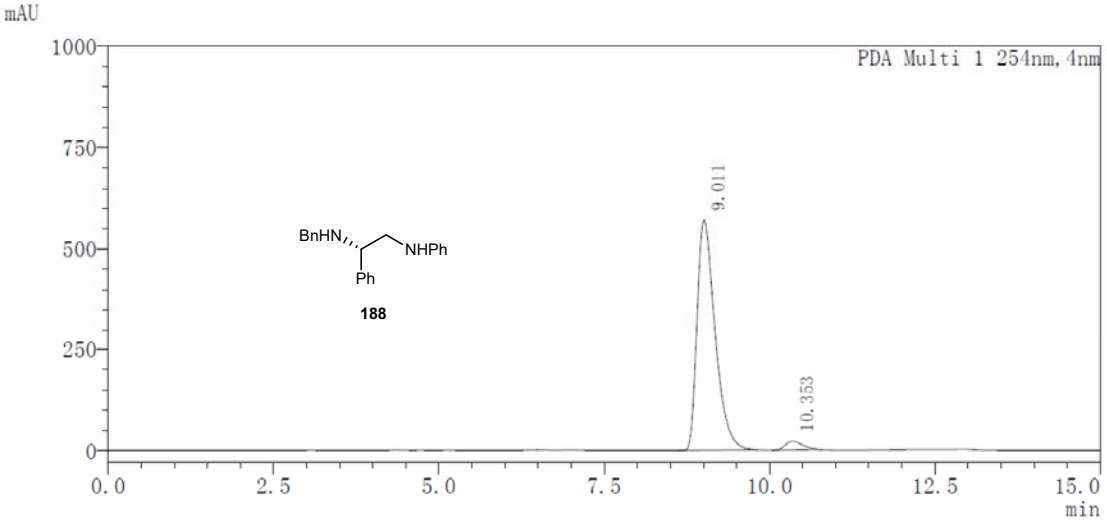
Peak#	Ret. Time	Area	Area%
1	19.525	540154	4.344
2	21.224	11895507	95.656



Peak Table

PDA Ch1 254nm

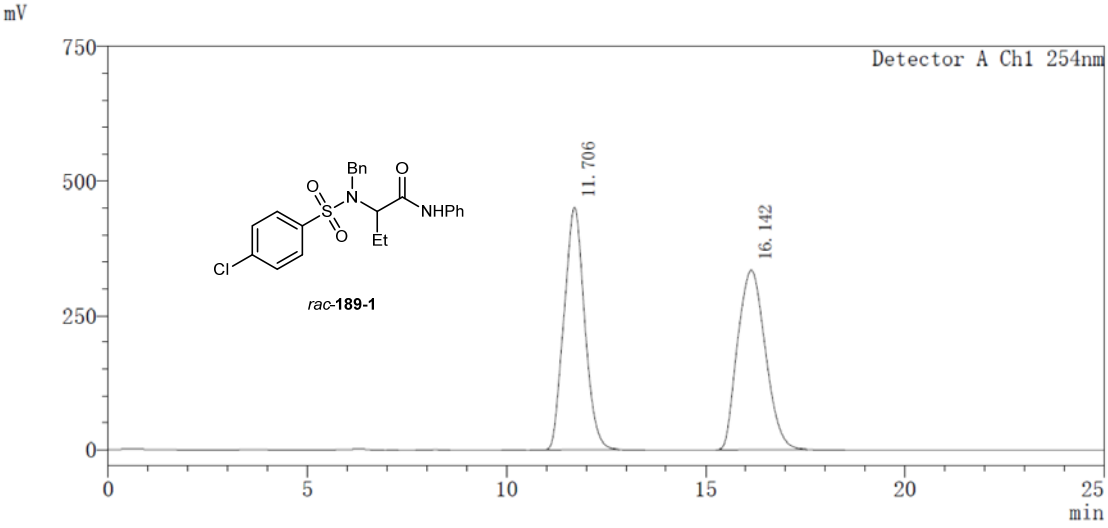
Peak#	Ret. Time	Area	Area%
1	9.077	4978877	50.022
2	10.455	4974553	49.978



Peak Table

PDA Ch1 254nm

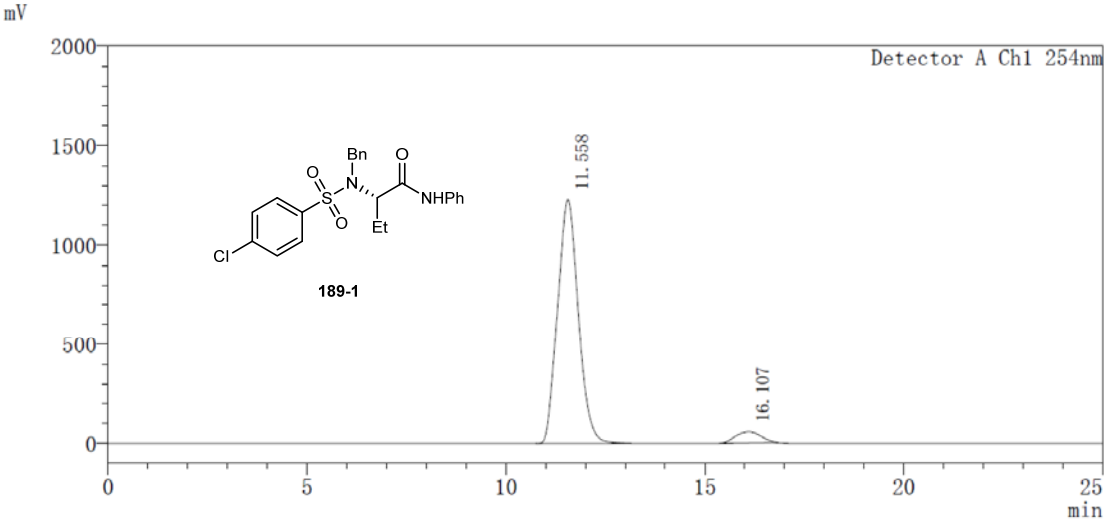
Peak#	Ret. Time	Area	Area%
1	9.011	10798864	96.572
2	10.353	383317	3.428



Peak Table

Detector A Ch1 254nm

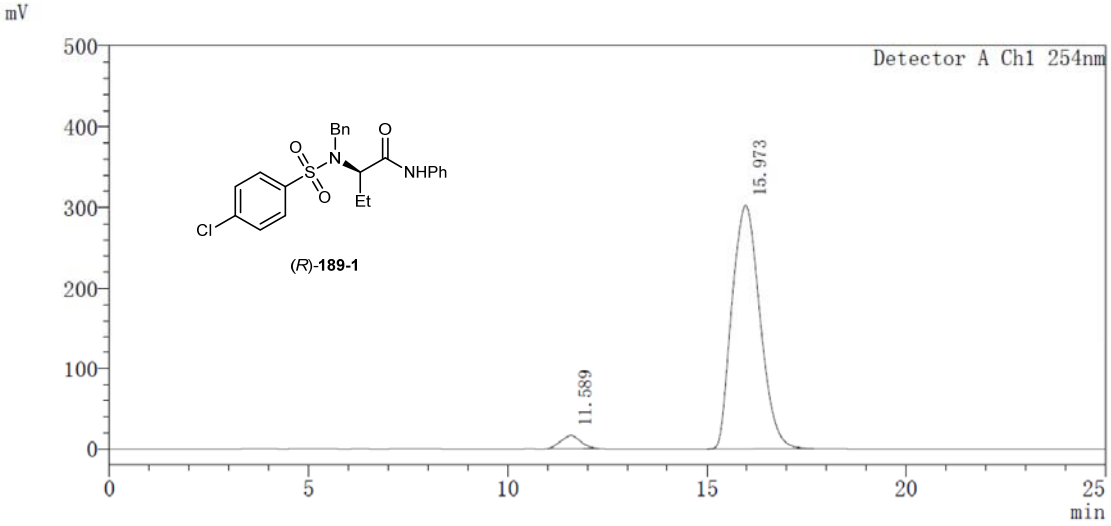
Peak#	Ret. Time	Area	Area%
1	11.706	16235886	49.983
2	16.142	16246990	50.017



Peak Table

Detector A Ch1 254nm

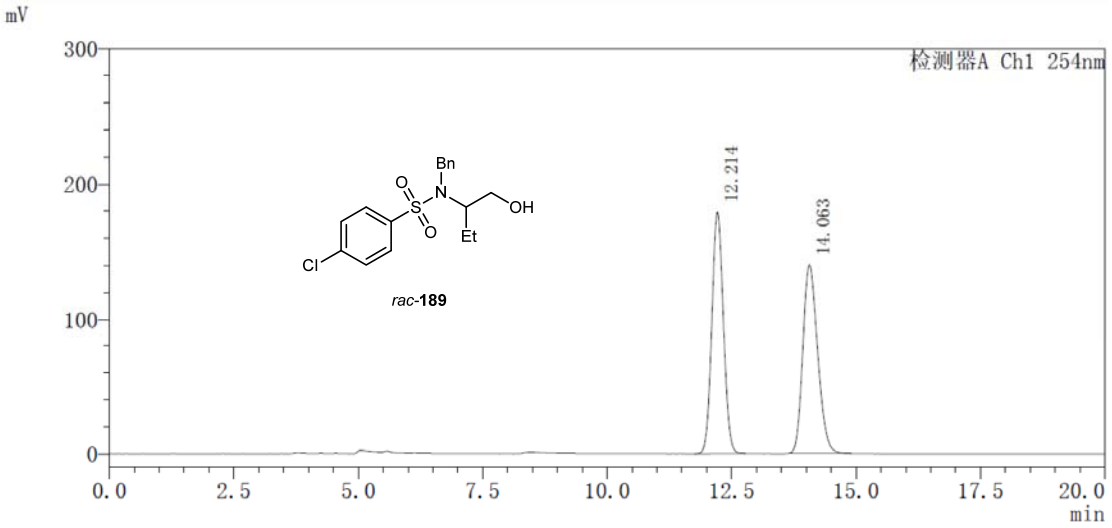
Peak#	Ret. Time	Area	Area%
1	11.558	44733560	94.781
2	16.107	2463169	5.219



Peak Table

Detector A Ch1 254nm

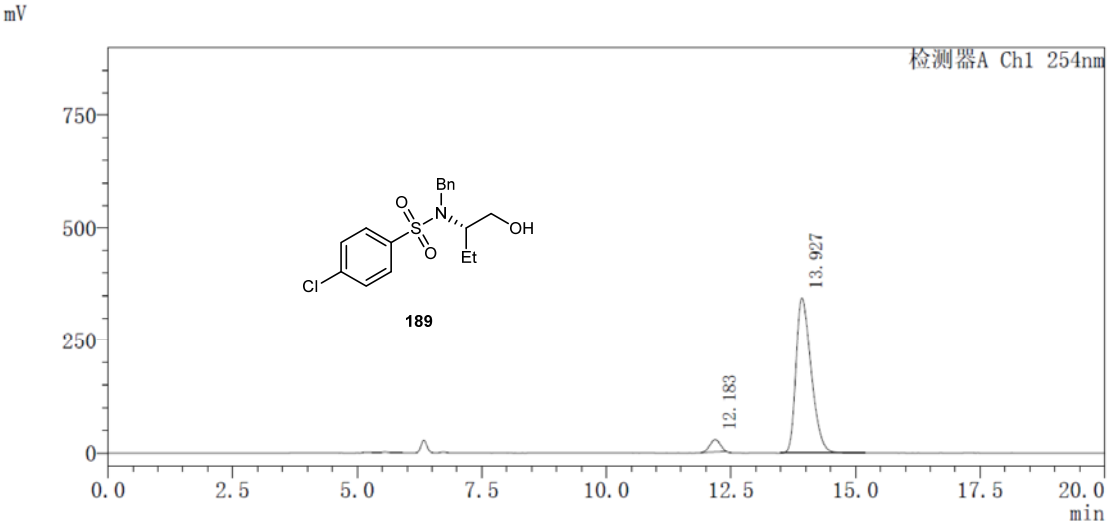
Peak#	Ret. Time	Area	Area%
1	11.589	546512	3.572
2	15.973	14751444	96.428



Peak Table

检测器A Ch1 254nm

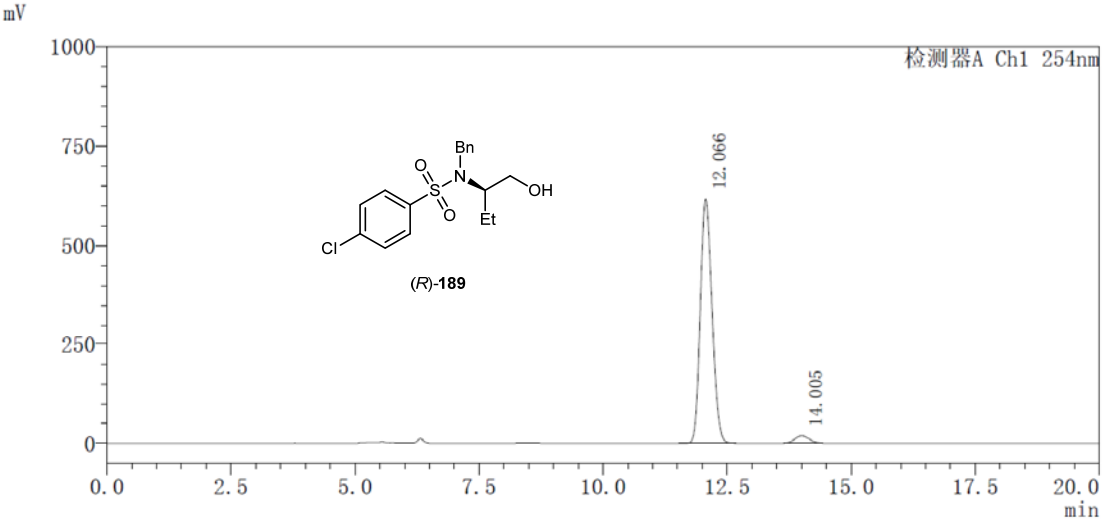
Peak#	Ret. Time	Area	Area%
1	12.214	2900012	50.110
2	14.063	2887278	49.890



Peak Table

检测器A Ch1 254nm

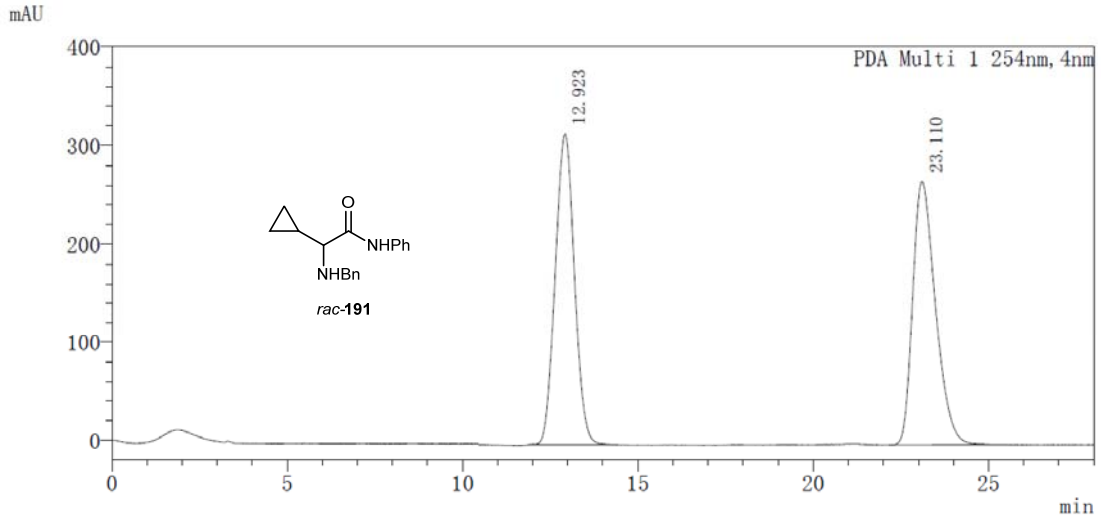
Peak#	Ret. Time	Area	Area%
1	12.183	392320	5.130
2	13.927	7255788	94.870



Peak Table

检测器A Ch1 254nm

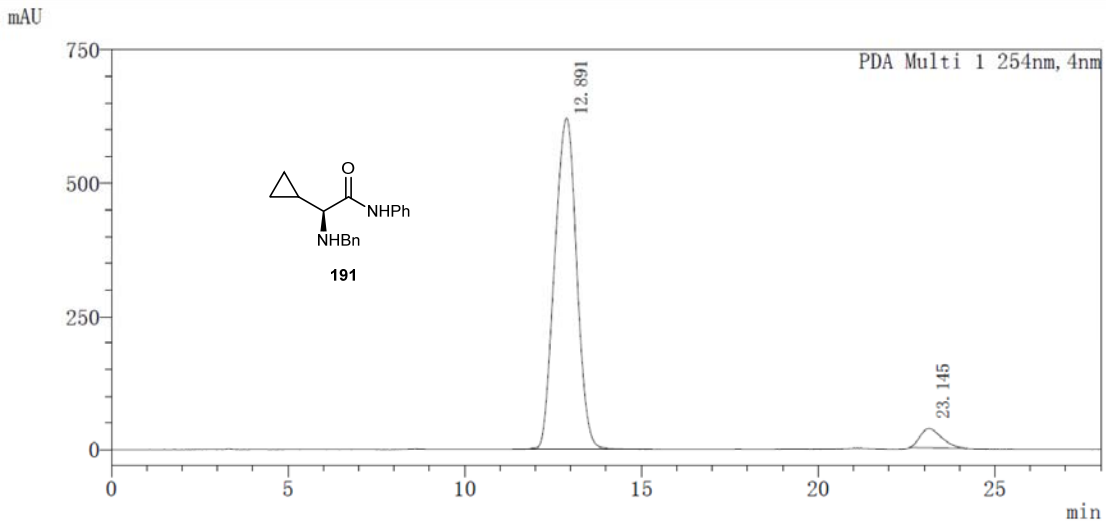
Peak#	Ret. Time	Area	Area%
1	12.066	10081095	96.259
2	14.005	391774	3.741



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.923	12063625	49.962
2	23.110	12082207	50.038



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.891	26879496	94.572
2	23.145	1542828	5.428