Supporting Information for

Copper-Catalyzed Enantioconvergent Radical *N*-Alkylation of Diverse (Hetero)aromatic Amines

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1. Tables for experiments

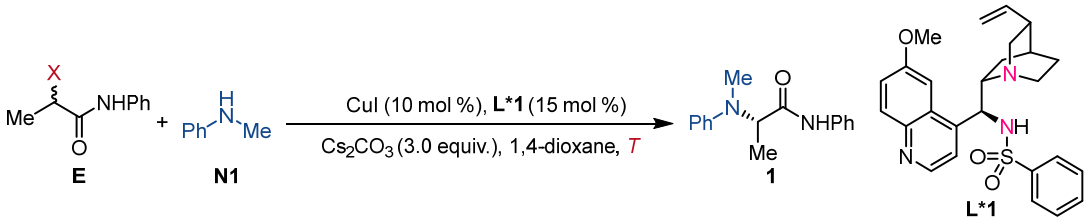
Brief summary of condition optimizations

Secondary aromatic amine N1 with α-methyl secondary alkyl halide: We started the condition using CuI, **L*1** as the catalyst, and Cs_2CO_3 as base in 1,4-dioxane at 45 °C. The initial screening of alkyl halide indicated bromide was more efficient than chloride (Table S1). Then a series of ligands were strategically tested and **L*3** stood out to provide the highest yield with the best enantioselectivity. Next, the solvent was varied and 1,4-dioxane performed the best (Table S2). The subsequent copper salt screening revealed CuI was the optimal one (Table S3). Further investigations on the amine-to-alkyl bromide ratio (Table S4) led to the optimal conditions.

Secondary aromatic amine N1 with α-alkyl secondary alkyl bromide E2: Due to the increased steric bulkiness of alkyl bromides, sterically less congested N,N,N-ligand **L*5** became superior for this reaction (Table S5). Among common solvents, benzene delivered slightly better enantioselectivity than 1,4-dioxane while the yield remained comparable (Table S6). Further investigations on the amine-to-alkyl bromide ratio led to the optimal conditions (Table S7).

Secondary aromatic amine N1 with tertiary alkyl chloride E17: The planar tridentate N,N,N-ligand **L*9** delivered promising enantioselectivity. 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. (Table S8).

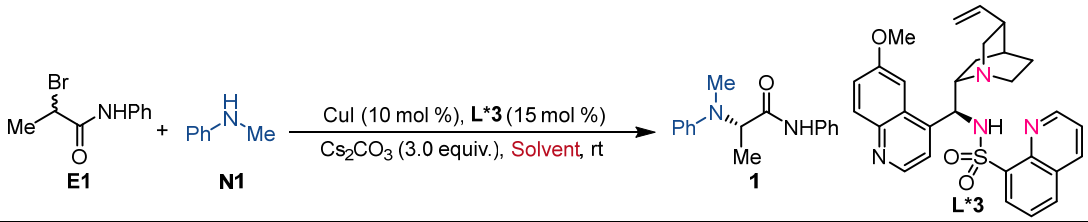
Table S1. Reaction condition optimization with secondary aromatic amine: screening of different alkyl halides



Entry	X	*T* (°C)	Yield (%)	ee (%)
1	Cl	45	51	65
2	Cl	rt	35	68
3	Br	rt	71	68

Reaction conditions: **E** (0.075 mmol), **N1** (0.050 mmol), CuI (10 mol %), **L*1** (15 mol %), and Cs_2CO_3 (3.0 equiv) in 1,4-dioxane (1.0 mL) for 72 h under argon. The yields of **1** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

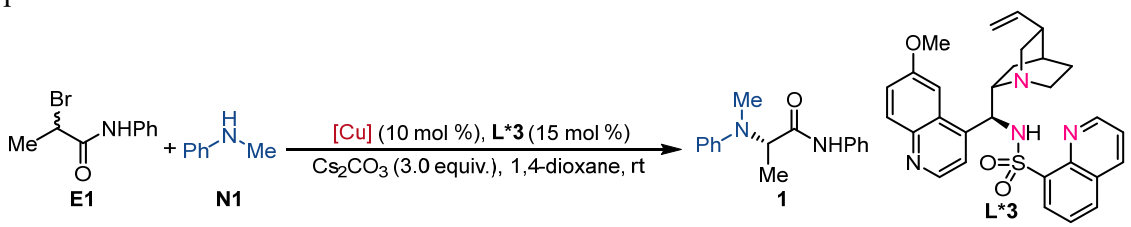
Table S2. Reaction condition optimization with secondary aromatic amine: screening of different solvents



Entry	Solvent	Yield (%)	ee (%)
1	1,4-dioxane	95	96
2	THF	79	96
3	DCM	81	95
4	cyclohexane	35	46
5	benzene	76	93
6	MeCN	10	93
7	DMSO	26	25
8	DMF	56	75

Reaction conditions: **E1** (0.075 mmol), **N1** (0.050 mmol), CuI (10 mol %), **L*3** (15 mol %), and Cs_2CO_3 (3.0 equiv) in solvent (1.0 mL) at rt for 72 h under argon. The yields of **1** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

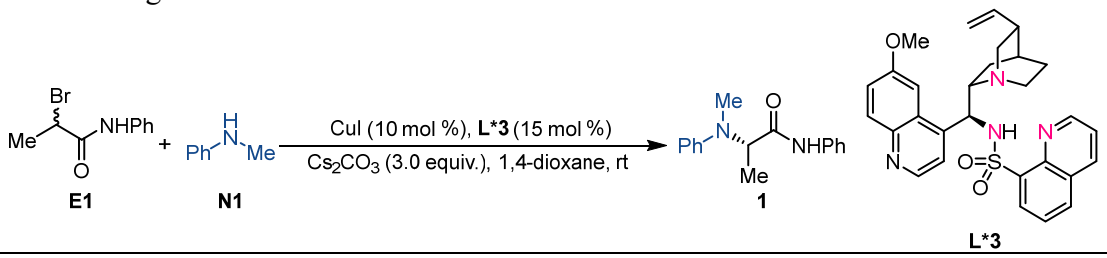
Table S3. Reaction condition optimization with secondary aromatic amine: screening of different copper salts



Entry	Cu	Yield (%)	ee (%)
1	CuI	95	96
2	CuTc	71	95
3	$Cu(PPh_3)_2BH_4$	65	94
4	CuSCN	75	96
5	$CuBr{\cdot}SMe_2$	73	87
6	CuCN	83	90
7	Cu(OAc)	72	88
8	$CuSO_4$	63	36
9	$Cu(OAc)_2$	65	90

Reaction conditions: **E1** (0.075 mmol), **N1** (0.050 mmol), [Cu] (10 mol %), **L*3** (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 of **1** were based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

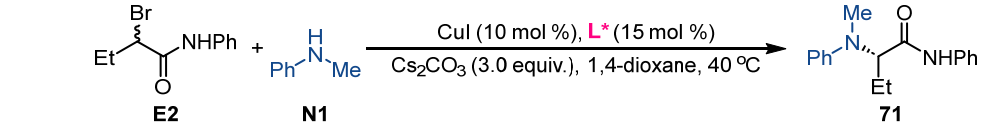
Table S4. Reaction condition optimization with secondary aromatic amine: screening of starting materials loading



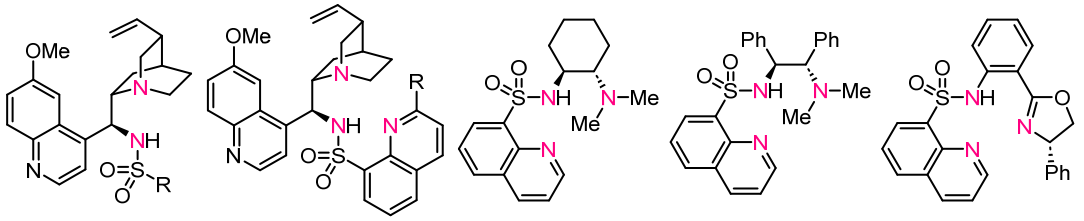
Entry	**E1** (equiv)	**N1** (equiv)	Yield (%)	ee (%)
1	1.5	1.0	95	96
2	1.2	1.0	84	96
3	1.0	1.5	85	95

Reaction conditions: **E1**, **N1**, CuI (10 mol %), **L*3** (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 of **1** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

Table S5. Reaction condition optimization with α-carbonyl-α-alkyl alkyl bromide: screening of different ligands



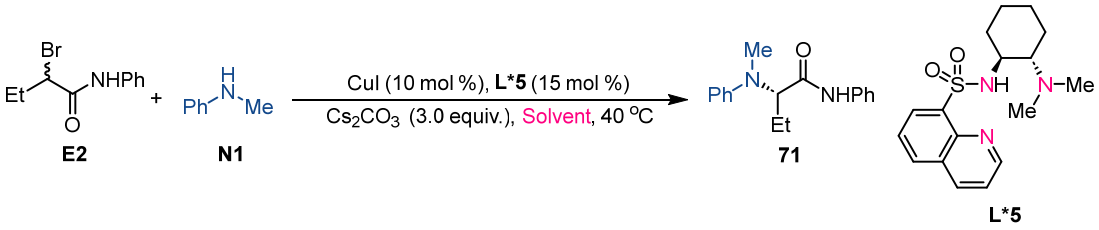
ligand screening



Entry	L*	Yield (%)	ee (%)
1[a]	**L*3**	43	83
2	**L*3**	49	82
3	**L*1**	70	66
4	**L*2**	67	41
5	**L*4**	81	75
6	**L*5**	78	93
7	**L*6**	65	92
8	**L*7**	96	83

Reaction conditions: **E2** (0.075 mmol), **N1** (0.050 mmol), CuI (10 mol %), **L*** (15 mol %), and Cs_2CO_3 (3.0 equiv) in 1,4-dioxane (1.0 mL) at 40 °C for 72 h under argon. The yields of **71** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis. [a]At room temperature.

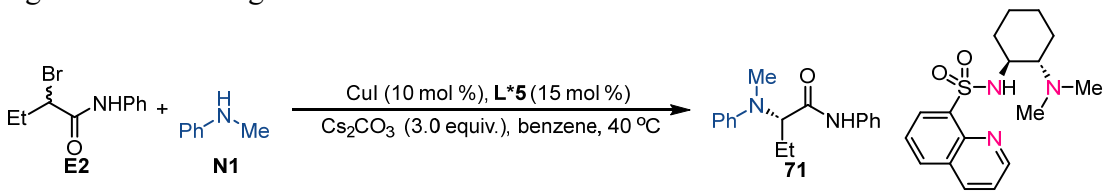
Table S6. Reaction condition optimization with α-carbonyl-α-alkyl alkyl bromide: screening of different solvents



Entry	Solvent	Yield (%)	ee (%)
1	1,4-dixoane	78	93
2	MTBE	74	95
3	CPME	81	95
4	$^{i}Pr_2O$	78	56
5	Et_2O	69	95
6	DME	51	88
7	THF	64	93
8	benzene	95	96
9	PhMe	89	96
10	$PhCF_3$	87	94
11	PhF	83	95

Reaction conditions: **E2** (0.075 mmol), **N1** (0.050 mmol), CuI (10 mol %), **L*5** (15 mol %), and Cs_2CO_3 (3.0 equiv) in solvent (1.0 mL) at 40 °C for 72 h under argon. The yields of **71** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

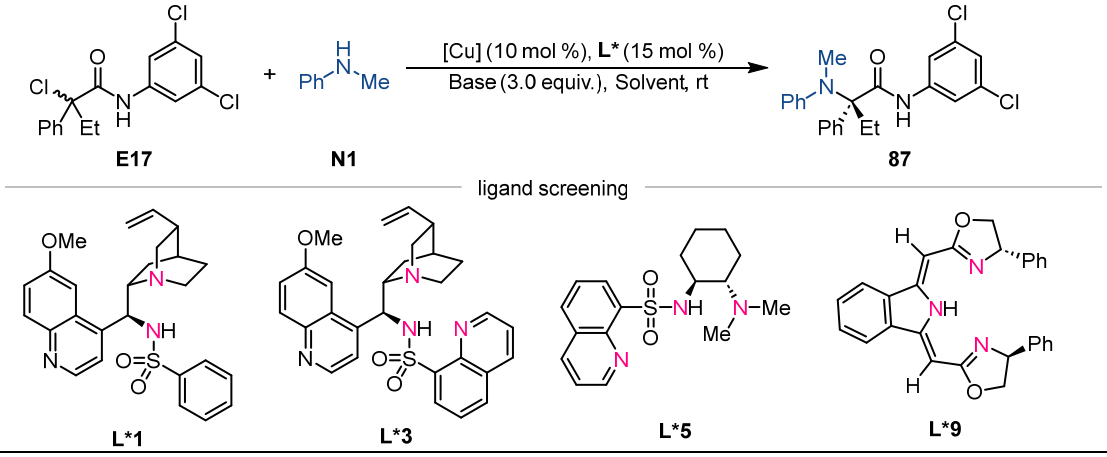
Table S7. Reaction condition optimization with α-carbonyl-α-alkyl alkyl bromide: screening of starting materials loading



Entry	**E2** (equiv)	**N1** (equiv)	Yield (%)	ee (%)
1	1.5	1.0	95	96
2	1.2	1.0	93	96
3	1.0	1.0	86	96
4	1.0	1.5	88	95

Reaction conditions: **E2**, **N1**, CuI (10 mol %), **L*5** (15 mol %), and Cs_2CO_3 (3.0 equiv) in benzene (1.0 mL) at 40 °C for 72 h under argon. The yields of **71** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis.

Table S8. Reaction condition optimization with α-carbonyl-α-phenyl alkyl chloride: screening of different ligands



Entry	[Cu]	L*	Base	Solvent	Yield (%)	ee (%)
1	CuI	**L*1**	Cs_2CO_3	1,4-dioxane	70	6
2	CuI	**L*3**	Cs_2CO_3	1,4-dioxane	70	1
3	CuI	**L*5**	Cs_2CO_3	1,4-dioxane	74	2
4	CuI	**L*9**	Cs_2CO_3	1,4-dioxane	78	35
5	CuI	**L*9**	Cs_2CO_3	MTBE	75	60
6	CuI	**L*9**	K_3PO_4	MTBE	67	80
7[a]	CuI	**L*9**	K_3PO_4/Cs_2CO_3	MTBE	71	82
8[a]	$CuBr{\cdot}SMe_2$	**L*9**	K_3PO_4/Cs_2CO_3	MTBE	72	88

Reaction conditions: **E17** (0.060 mmol), **N1** (0.050 mmol, 1.0 equiv), CuI (10 mol %), **L*** (15 mol %), and Base (3.0 equiv) in anhydrous solvent (1.0 mL) at rt for 96 h under argon. The yields of **87** were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard. The ee value was based on HPLC analysis. [a]K_3PO_4/Cs_2CO_3 (3.0/0.20 equiv) are used.

2. Figures for experiments

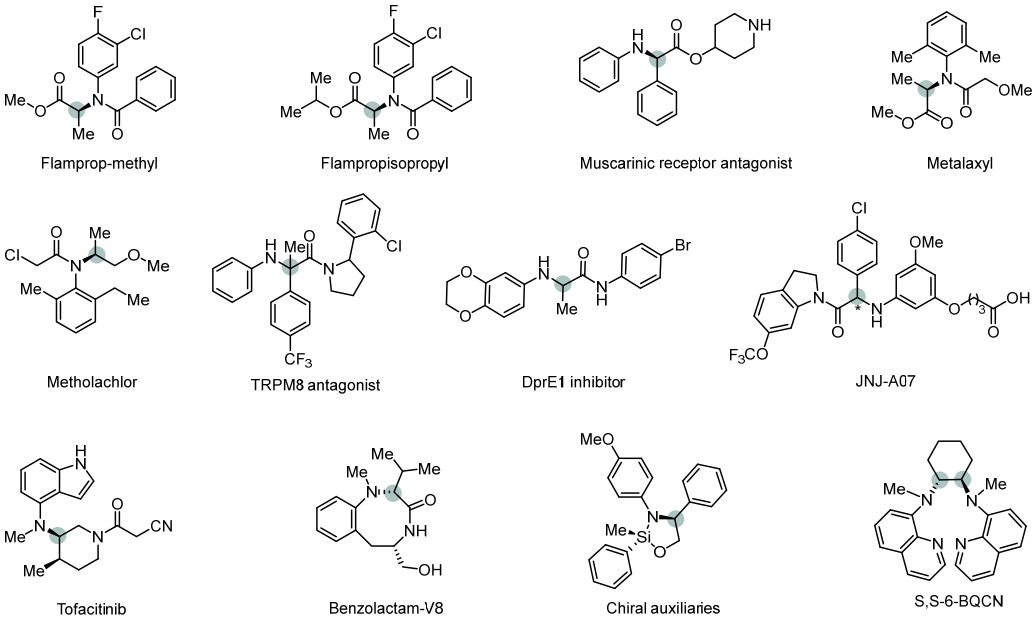
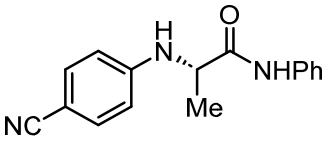


Figure S1. Importance of chiral aromatic amines featuring an α-stereocenter.



58

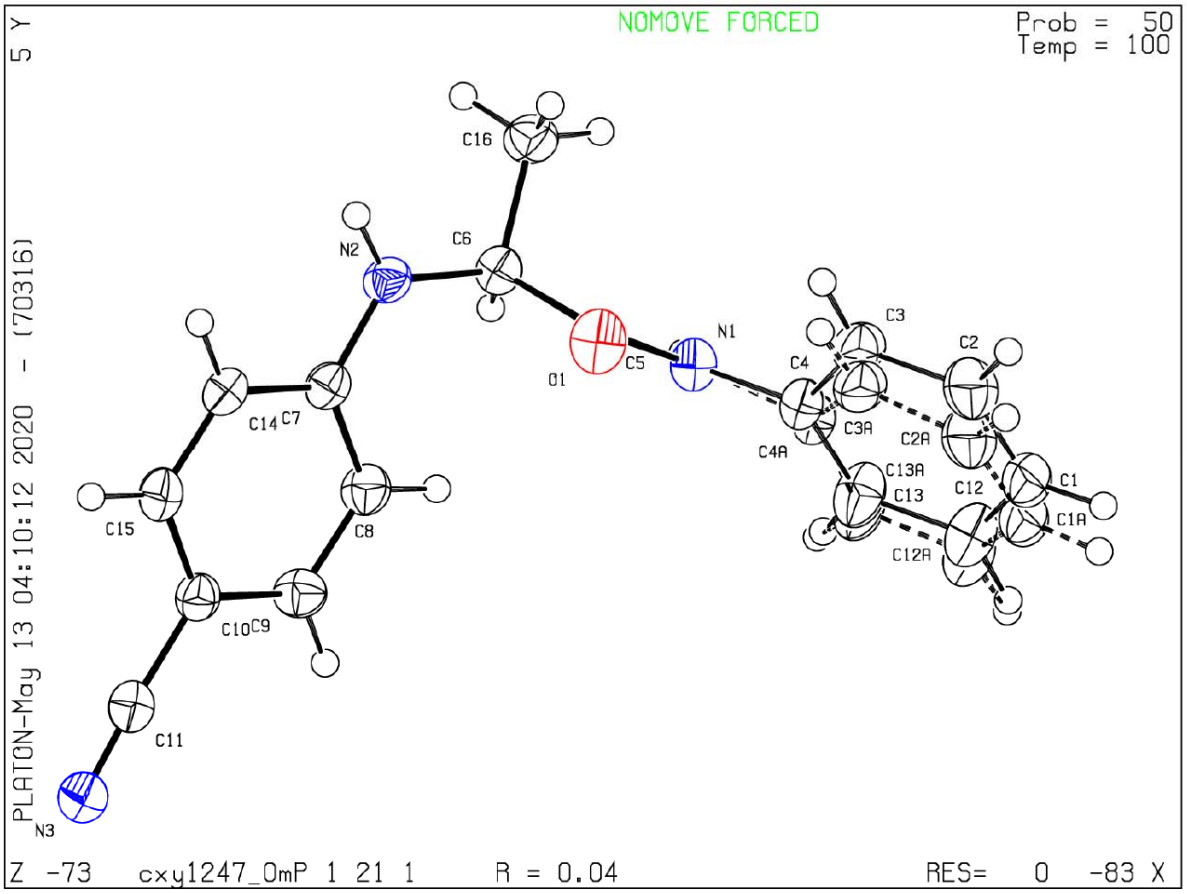
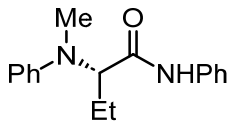


Figure S2. The X-ray structure of 58.



71

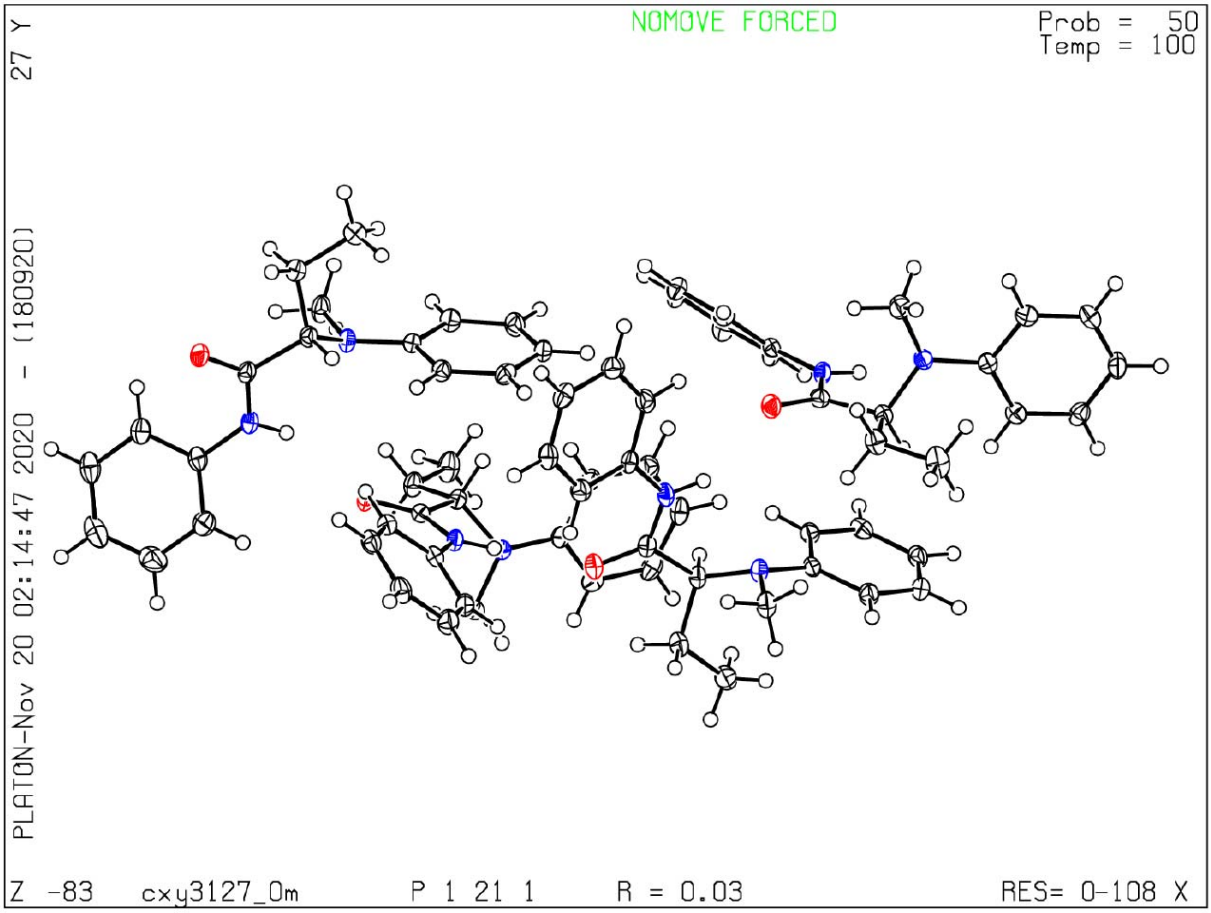
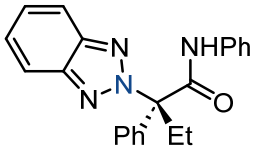


Figure S3. The X-ray structure of 71.



119

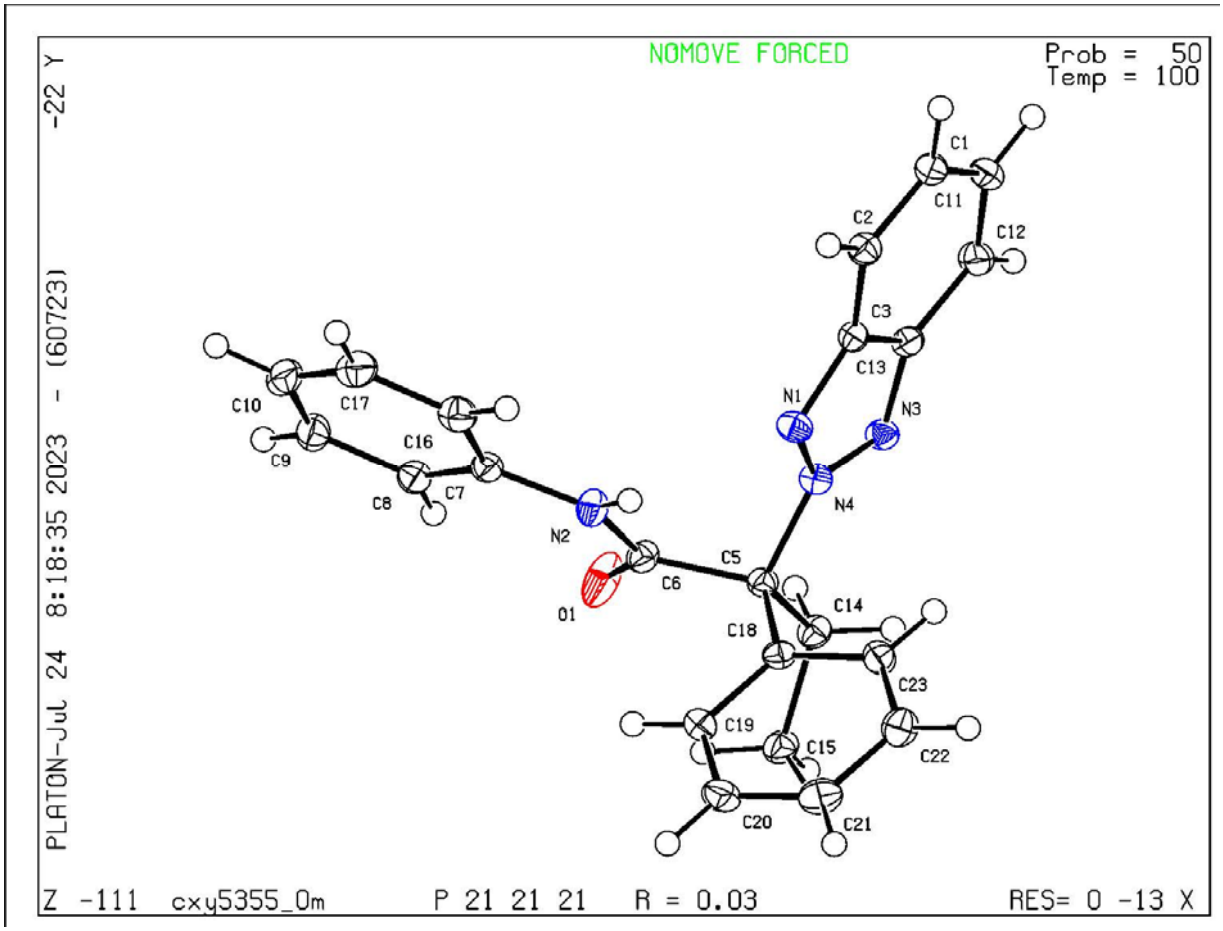


Figure S4. The X-ray structure of 119.

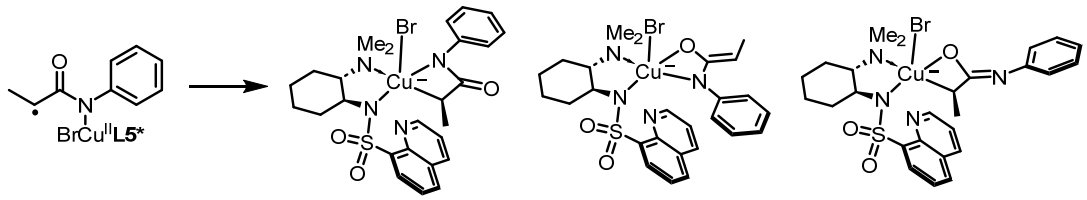


Figure S5. DFT calculations on the relative stability of possible Cu intermediates.

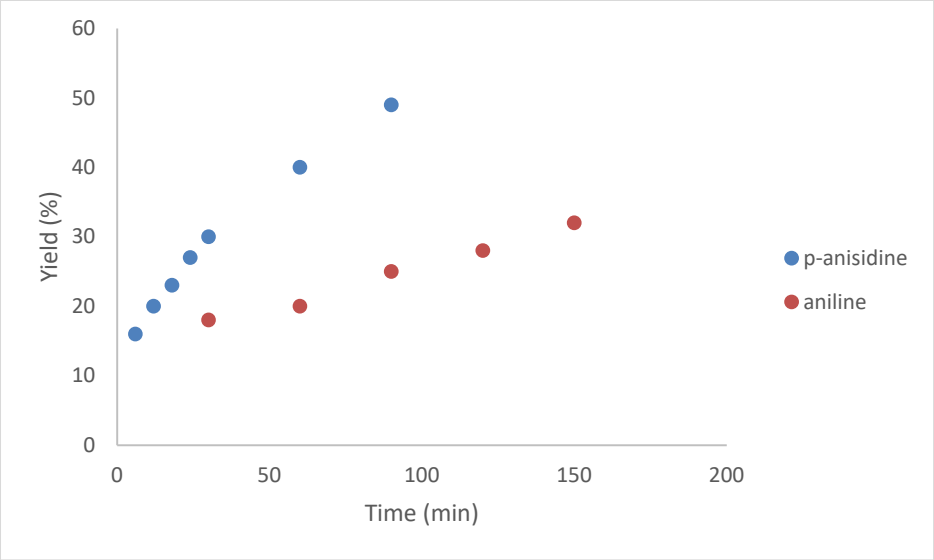


Figure S6. Time-course experiments for electron-rich *p*-anisidine compared to unsubstituted aniline.

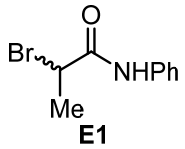
3. General information

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. Diphenylphosphoryl azide and oxalyl chloride were purchased from Adamas. DCM and THF were purified and dried using a solvent-purification system that contained activated alumina under argon. CuI was purchased from Sigma-Aldrich. $CuBr \cdot SMe_2$ and Cs_2CO_3 were purchased from Bide Pharmatech Ltd. Anhydrous 1,4-dioxane, THF and benzene were 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, DMSO-d_6, or THF-d_8 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 (ee) 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 radiation.

4. Synthesis of α-carbonyl alkyl halide substrates

According to the literature reported procedure[1,2,3,4,5,6], α-carbonyl alkyl halide substrates were synthesized.

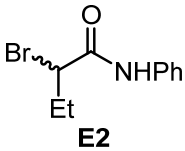
2-Bromo-*N*-phenylpropanamide (E1)



E1

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.54 – 7.52 (m, 2H), 7.36 – 7.32 (m, 2H), 7.16 – 7.13 (m, 1H), 4.54 (q, *J* = 7.0 Hz, 1H), 1.94 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4, 137.1, 129.0, 125.0, 120.0, 45.2, 22.9.
HRMS (ESI) m/z calcd. for $C_9H_{11}BrNO$ $[M + H]^+$ 228.0019, found 228.0016.

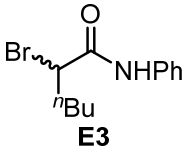
2-Bromo-*N*-phenylbutanamide (E2)



E2

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 7.58 – 7.55 (m, 2H), 7.40 – 7.35 (m, 2H), 7.20 – 7.16 (m, 1H), 4.45 (dd, *J* = 7.7, 5.2 Hz, 1H), 2.34 – 2.23 (m, 1H), 2.22 – 2.11 (m, 1H), 1.13 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 137.1, 129.1, 125.0, 120.0, 53.9, 29.4, 11.8.
HRMS (ESI) m/z calcd. for $C_{10}H_{13}BrNO$ $[M + H]^+$ 242.0175, found 242.0174.

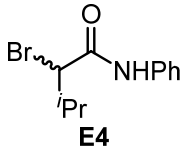
2-Bromo-*N*-phenylhexanamide (E3)



E3

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.56 – 7.54 (m, 2H), 7.29 – 7.326 (m, 2H), 7.13 – 7.09 (m, 1H), 4.48 (t, *J* = 7.1 Hz, 1H), 2.20 – 2.11 (m, 1H), 2.06 – 1.97 (m, 1H), 1.50 – 1.23 (m, 4H), 0.87 (t, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 137.1, 128.8, 124.9, 120.5, 50.5, 35.1, 29.3, 21.9, 13.7.
HRMS (ESI) m/z calcd. for $C_{12}H_{17}BrNO$ $[M + H]^+$ 270.0488, found 270.0487.

2-Bromo-3-methyl-*N*-phenylbutanamide (E4)

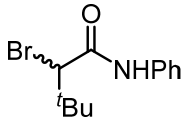


E4

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.55 – 7.53 (m, 2H), 7.37 – 7.33 (m, 2H), 7.17 – 7.14 (m, 1H), 4.43 (d, *J* = 4.8 Hz, 1H), 2.54 – 2.43 (m, 1H), 1.11 (d, *J* = 6.6 Hz, 3H), 1.05 (d, *J* = 6.5 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.2, 137.0, 129.1, 125.0, 120.1, 61.7, 32.6, 21.0, 18.5.
HRMS (ESI) m/z calcd. for $C_{11}H_{15}BrNO$ $[M + H]^+$ 256.0332, found 256.0331.

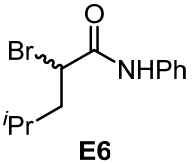
2-Bromo-3,3-dimethyl-*N*-phenylbutanamide (E5)



E5

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (s, 1H), 7.52 – 7.49 (m, 2H), 7.36 – 7.31 (m, 2H), 7.16 – 7.12 (m, 1H), 4.26 (s, 1H), 1.21 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.0, 137.0, 129.0, 124.9, 120.1, 64.3, 35.4, 27.6.
HRMS (ESI) m/z calcd. for $C_{12}H_{17}BrNO$ $[M+H]^+$ 270.0488, found 270.0488.

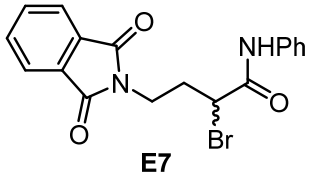
2-Bromo-4-methyl-*N*-phenylpentanamide (E6)



E6

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.54 – 7.52 (m, 2H), 7.36 – 7.33 (m, 2H), 7.17 – 7.13 (m, 1H), 4.46 – 4.43 (m, 1H), 2.06 – 1.91 (m, 3H), 0.98 (d, J = 7.2 Hz, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.1, 137.2, 129.1, 124.9, 120.0, 50.6, 44.6, 26.4, 22.6, 21.1.
HRMS (ESI) m/z calcd. for $C_{12}H_{17}BrNO$ $[M + H]^+$ 270.0488, found 270.0489.

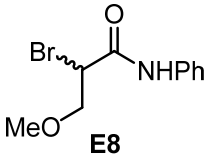
2-Bromo-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide (E7)



E7

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.82 – 7.79 (m, 2H), 7.72 – 7.67 (m, 2H), 7.53 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.14 – 7.10 (m, 1H), 4.47 (t, J = 6.8 Hz, 1H), 3.96 – 3.84 (m, 2H), 2.75 – 2.67 (m, 1H), 2.50 – 2.41 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 165.9, 137.1, 134.1, 131.8, 129.0, 124.9, 123.4, 119.9, 47.4, 35.9, 34.8.
HRMS (ESI) m/z calcd. for $C_{18}H_{16}BrN_2O_3$ $[M + H]^+$ 387.0339, found 387.0336.

2-Bromo-3-methoxy-*N*-phenylpropanamide (E8)

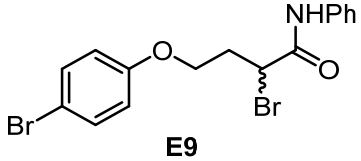


E8

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.54 – 7.52 (m, 2H), 7.34 – 7.30 (m, 2H), 7.15 – 7.11 (m, 1H), 4.52 (t, J = 5.0 Hz, 1H), 3.92 (m, 2H), 3.45 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 165.4, 137.2, 128.9, 124.9, 120.1, 73.4, 59.3, 47.6.

HRMS (ESI) m/z calcd. for $C_{10}H_{13}BrNO_2$ $[M + H]^+$ 258.0124, found 258.0125.

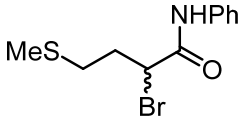
2-Bromo-4-(4-bromophenoxy)-*N*-phenylbutanamide (E9)



E9

^{1}H NMR (400 MHz, DMSO-d_6) δ 10.43 (s, 1H), 7.61 – 7.59 (m, 2H), 7.45 – 7.43 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.08 (m, 1H), 6.93 – 6.91 (m, 2H), 4.82 – 4.78 (m, 1H), 4.18 – 4.04 (m, 2H), 2.59 – 2.54 (m, 1H), 2.37 – 2.31 (m, 1H).
^{13}C NMR (100 MHz, DMSO-d_6) δ 166.6, 157.5, 138.5, 132.2, 128.9, 123.9, 119.3, 116.8, 112.2, 65.3, 46.5, 33.52.
HRMS (ESI) m/z calcd. for $C_{16}H_{16}Br_2NO_2$ $[M + H]^+$ 411.9542, found 411.9536.

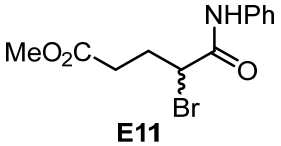
2-Bromo-4-(methylthio)-*N*-phenylbutanamide (E10)



E10

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.54 – 7.51 (m, 2H), 7.35 – 7.30 (m, 2H), 7.16 – 7.12 (m, 1H), 4.66 (dd, J = 8.4, 5.3 Hz, 1H), 2.73 – 2.60 (m, 2H), 2.53 – 2.44 (m, 1H), 2.36 – 2.26 (m, 1H), 2.09 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 137.0, 129.0, 125.0, 120.1, 49.3, 34.3, 31.5, 15.2.
HRMS (ESI) m/z calcd. for $C_{11}H_{15}BrNOS$ $[M+H]^+$ 288.0052, found 288.0053.

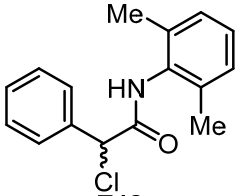
Methyl 4-bromo-5-oxo-5-(phenylamino)pentanoate (E11)



E11

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.55 – 7.52 (m, 2H), 7.37 – 7.32 (m, 2H), 7.17 – 7.13 (m, 1H), 4.60 – 4.57 (m, 1H), 3.69 (s, 3H), 2.62 – 2.50 (m, 3H), 2.46 – 2.35 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 166.1, 137.0, 129.1, 125.0, 120.0, 51.9, 49.9, 31.4, 30.6.
HRMS (ESI) m/z calcd. for $C_{12}H_{15}BrNO_3$ $[M + H]^+$ 300.0230, found 300.0231.

2-Chloro-*N*-(2,6-dimethylphenyl)-2-phenylacetamide (E12)

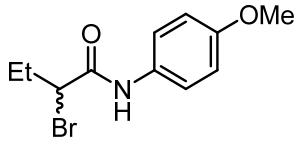


E12

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.60 – 7.58 (m, 2H), 7.45 – 7.36 (m, 3H), 7.13 – 7.10 (m, 1H), 7.07 – 7.05 (m, 2H), 5.56 (s, 1H), 2.16 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.8, 136.6, 135.3, 132.7, 129.2, 129.0, 128.3, 127.7, 127.6, 62.2, 18.2.
HRMS (ESI) m/z calcd. for $C_{16}H_{17}ClNO$ $[M + H]^+$ 274.0993, found 274.0991.

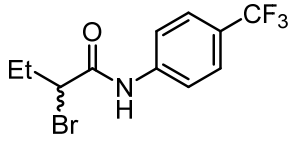
2-Bromo-*N*-(4-methoxyphenyl)butanamide (E13)



E13

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.45 – 7.41 (m, 2H), 6.89 – 6.85 (m, 2H), 4.41 (dd, *J* = 7.7, 5.1 Hz, 1H), 3.79 (s, 3H), 2.30 – 2.20 (m, 1H), 2.19 – 2.08 (m, 1H), 1.10 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.5, 156.9, 130.2, 121.9, 114.2, 55.5, 54.0, 29.4, 11.8.
HRMS (ESI) m/z calcd. for $C_{11}H_{15}BrNO_2$ $[M + H]^+$ 272.0281, found 272.0275.

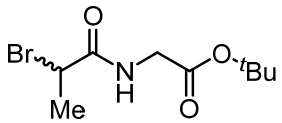
2-Bromo-*N*-(4-(trifluoromethyl)phenyl)butanamide (E14)



E14

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.70 – 7.68 (m, 2H), 7.61 – 7.59 (m, 2H), 4.45 (dd, *J* = 7.8, 5.3 Hz, 1H), 2.31 – 2.21 (m, 1H), 2.20 – 2.10 (m, 1H), 1.11 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 140.2, 126.8 (q, *J* = 33.0 Hz), 126.3 (q, *J* = 3.7 Hz), 123.9 (q, *J* = 270.0 Hz), 119.6, 53.4, 29.2, 11.8.
HRMS (ESI) m/z calcd. for $C_{11}H_{12}BrF_3NO$ $[M + H]^+$ 310.0049, found 310.0043.

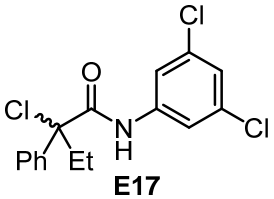
***tert*-Butyl (2-bromopropanoyl)glycinate (E15)**



E15

^{1}H NMR (400 MHz, $CDCl_3$) δ 6.86 (s, 1H), 4.44 (q, *J* = 7.1 Hz, 1H), 3.95 (dd, *J* = 5.0, 1.3 Hz, 2H), 1.90 (d, *J* = 7.0 Hz, 3H), 1.49 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 168.4, 82.7, 44.4, 42.6, 28.0, 23.0.
HRMS (ESI) m/z calcd. for $C_9H_{18}NaBrNO_3$ $[M + Na]^+$ 288.0206, found 288.0208.

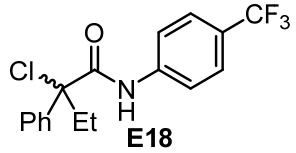
2-Chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide (E17)



E17

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.57 – 7.55 (m, 1H), 7.48 (d, *J* = 1.9 Hz, 2H), 7.39 – 7.32 (m, 3H), 7.09 (t, *J* = 1.8 Hz, 1H), 2.61 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.39 (dq, *J* = 14.4, 7.2 Hz, 1H), 1.03 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 139.5, 138.9, 135.2, 128.6, 126.1, 124.7, 118.1, 79.1, 34.9, 9.3.
HRMS (ESI) m/z calcd. for $C_{16}H_{15}Cl_3NO$ $[M + H]^+$ 342.0214, found 342.0211.

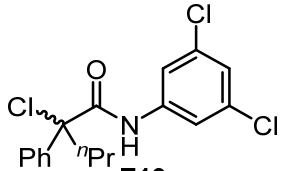
2-Chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (E18)



E18

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.66 (d, *J* = 8.6 Hz, 2H), 7.60 – 7.57 (m, 4H), 7.41 – 7.31 (m, 3H), 2.64 (dq, *J* = 14.3, 7.1 Hz, 1H), 2.42 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.06 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 140.2, 139.8, 128.7, 128.6, 126.7 (q, *J* = 32.4 Hz), 126.3 (q, *J* = 3.8 Hz), 126.2, 123.9 (q, *J* = 296.2 Hz), 119.5, 79.2, 34.9, 9.4.
^{19}F NMR (376 MHz, $CDCl_3$) δ −62.18.
HRMS (ESI) m/z calcd. for $C_{17}H_{16}ClF_3NO$ $[M + H]^+$ 342.0867, found 342.0865.

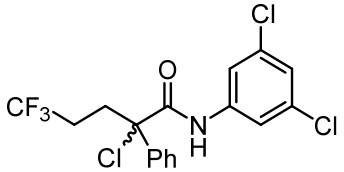
2-Chloro-*N*-(3,5-dichlorophenyl)-2-phenylpentanamide (E19)



E19

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (s, 1H), 7.58 – 7.55 (m, 2H), 7.50 (d, *J* = 1.8 Hz, 2H), 7.40 – 7.31 (m, 3H), 7.11 (t, *J* = 1.9 Hz, 1H), 2.60 – 2.49 (m, 1H), 2.38 – 2.27 (m, 1H), 1.52 – 1.42 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 139.9, 138.9, 135.3, 128.7, 128.6, 126.1, 124.8, 118.1, 78.4, 43.8, 18.3, 13.8.
HRMS (ESI) m/z calcd. for $C_{17}H_{17}Cl_3NO$ $[M + H]^+$ 356.0370, found 356.0370.

2-Chloro-*N*-(3,5-dichlorophenyl)-5,5,5-trifluoro-2-phenylpentanamide (E20)

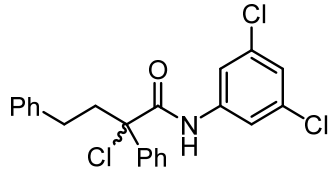


E20

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.59 – 7.57 (m, 2H), 7.49 – 7.47 (m, 2H), 7.46 – 7.38 (m, 3H), 7.16 – 7.13 (m, 1H), 2.84 – 2.76 (m, 1H), 2.64 – 2.56 (m, 1H), 2.39 – 2.29 (m, 1H), 2.24 – 2.14 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4, 138.6, 138.1, 135.4, 129.3, 129.1, 126.7 (q, *J* = 276.1 Hz), 125.8, 125.1, 118.2, 76.0, 34.8 (q, *J* = 3.2 Hz), 30.3 (q, *J* = 29.7 Hz).

^{19}F NMR (376 MHz, $CDCl_3$) δ −66.10.
HRMS (ESI) m/z calcd. for $C_{17}H_{14}Cl_3F_3NO$ $[M + H]^+$ 410.0088, found 410.0078.

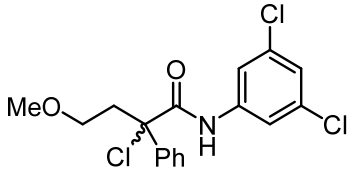
2-Chloro-*N*-(3,5-dichlorophenyl)-2,4-diphenylbutanamide (E21)



E21

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.62 – 7.59 (m, 2H), 7.54 – 7.51 (m, 2H), 7.42 – 7.33 (m, 3H), 7.29 – 7.27 (m, 2H), 7.21 – 7.17 (m, 3H), 7.14 – 7.13 (m, 1H), 2.94 – 2.86 (m, 1H), 2.80 – 2.75 (m, 2H), 2.66 – 2.58 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 140.7, 139.5, 138.9, 135.4, 128.9, 128.8, 128.5, 126.2, 126.1, 124.9, 118.2, 78.0, 43.9, 31.5.
HRMS (ESI) m/z calcd. for $C_{22}H_{19}Cl_3NO$ $[M + H]^+$ 418.0527, found 418.0525.

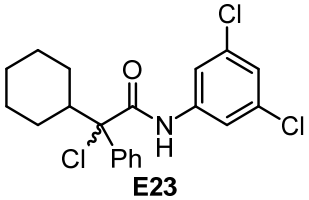
2-Chloro-*N*-(3,5-dichlorophenyl)-4-methoxy-2-phenylbutanamide (E22)



E22

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.58 – 7.55 (m, 2H), 7.51 – 7.48 (m, 2H), 7.41 – 7.32 (m, 3H), 7.13 – 7.12 (m, 1H), 3.67 – 3.61 (m, 1H), 3.55 – 3.50 (m, 1H), 3.28 (s, 3H), 3.04 – 2.96 (m, 1H), 2.63 – 2.56 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 139.6, 138.9, 135.3, 128.83, 128.78, 126.0, 124.8, 118.2, 75.7, 68.9, 58.7, 40.7.
HRMS (ESI) m/z calcd. for $C_{17}H_{17}Cl_3NO_2$ $[M + H]^+$ 372.0319, found 372.0316.

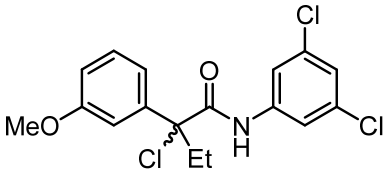
2-Chloro-2-cyclohexyl-*N*-(3,5-dichlorophenyl)-2-phenylacetamide (E23)



E23

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (s, 1H), 7.71 – 7.68 (m, 2H), 7.50 – 7.49 (m, 2H), 7.39 – 7.29 (m, 3H), 7.11 – 7.08 (m, 1H), 2.78 – 2.70 (m, 1H), 1.83 – 1.76 (m, 2H), 1.72 – 1.66 (m, 2H), 1.49 – 1.30 (m, 3H), 1.26 – 1.11 (m, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 139.0, 138.0, 135.3, 128.43, 128.38, 126.6, 124.7, 118.2, 84.6, 47.5, 29.2, 26.9, 26.2, 26.1.
HRMS (ESI) m/z calcd. for $C_{20}H_{21}Cl_3NO$ $[M + H]^+$ 396.0683, found 396.0682.

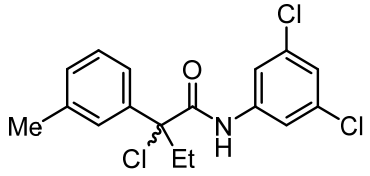
2-Chloro-*N*-(3,5-dichlorophenyl)-2-(3-methoxyphenyl)butanamide (E24)



E24

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.50 – 7.48 (m, 2H), 7.31 – 7.25 (m, 1H), 7.14 – 7.10 (m, 3H), 6.88 – 6.85 (m, 1H), 3.81 (s, 3H), 2.64 – 2.55 (m, 1H), 2.43 – 2.34 (m, 1H), 1.03 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 159.7, 141.0, 138.9, 135.3, 129.7, 124.7, 118.4, 118.1, 113.5, 112.8, 78.9, 55.3, 34.8, 9.3.
HRMS (ESI) m/z calcd. for $C_{17}H_{17}Cl_3NO_2$ $[M + H]^+$ 372.0319, found 372.0318.

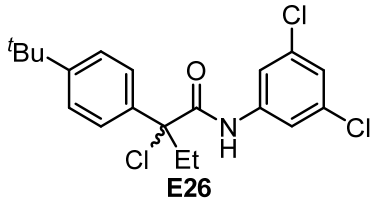
2-Chloro-*N*-(3,5-dichlorophenyl)-2-(*m*-tolyl)butanamide (E25)



E25

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.52 (d, *J* = 1.8 Hz, 2H), 7.37 – 7.34 (m, 2H), 7.29 – 7.25 (m, 1H), 7.16 – 7.13 (m, 1H), 7.12 (t, *J* = 1.8 Hz, 1H), 2.66 – 2.57 (m, 1H), 2.44 – 2.35 (m, 4H), 1.04 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 139.5, 139.0, 138.5, 135.3, 129.5, 128.6, 126.8, 124.8, 123.3, 118.1, 79.3, 34.8, 21.6, 9.4.
HRMS (ESI) m/z calcd. for $C_{17}H_{17}Cl_3NO$ $[M + H]^+$ 356.0370, found 356.0369.

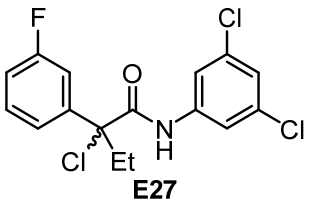
2-(4-(*Tert*-butyl)phenyl)-2-chloro-*N*-(3,5-dichlorophenyl)butanamide (E26)



E26

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.53 – 7.52 (m, 2H), 7.49 – 7.47 (m, 2H), 7.40 – 7.38 (m, 2H), 7.14 – 7.11 (m, 1H), 2.67 – 2.58 (m, 1H), 2.43 – 2.34 (m, 1H), 1.31 (s, 9H), 1.05 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 151.8, 139.0, 136.7, 135.3, 125.9, 125.6, 124.8, 118.1, 79.3, 34.8, 34.6, 31.2, 9.5.
HRMS (ESI) m/z calcd. for $C_{20}H_{23}Cl_3NO$ $[M + H]^+$ 398.0840, found 398.0838.

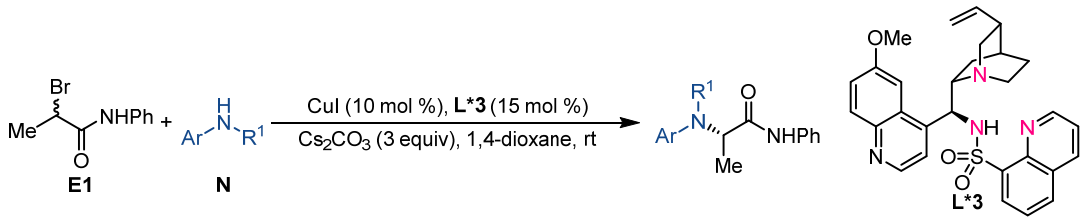
2-Chloro-*N*-(3,5-dichlorophenyl)-2-(3-fluorophenyl)butanamide (E27)



E27

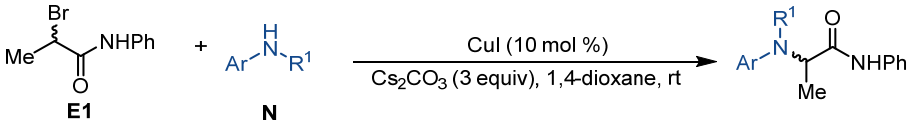
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.49 (d, 2H), 7.35 – 7.31 (m, 3H), 7.11 (t, *J* = 1.8 Hz, 1H), 7.06 – 6.99 (m, 1H), 2.64 – 2.55 (m, 1H), 2.42 – 2.33 (m, 1H), 1.04 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.8, 162.7 (d, *J* = 246.9 Hz), 142.1 (d, *J* = 7.3 Hz), 138.8, 135.4, 130.3 (d, *J* = 8.3 Hz), 125.0, 121.9 (d, *J* = 2.9 Hz), 118.3, 115.7 (d, *J* = 21.0 Hz), 113.9 (d, *J* = 24.0 Hz), 78.4, 35.1, 9.4.
^{19}F NMR (376 MHz, $CDCl_3$) δ −111.4.
HRMS (ESI) m/z calcd. for $C_{16}H_{14}Cl_3FNO$ $[M + H]^+$ 360.0120 , found 360.0115.

5. Enantioconvergent *N*-alkylation of primary and secondary aromatic amines



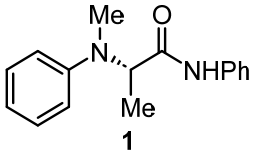
General procedure A:

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*3** (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 bromide (0.30 mmol, 1.5 equiv), secondary aromatic 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 rt 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 bromide (0.30 mmol, 1.5 equiv), secondary aromatic 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 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-(Methyl(phenyl)amino)-*N*-phenylpropanamide (1)



1

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg,

0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **1** as a yellowish oil (45.3 mg, 89% yield, 97% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.37 min, t_R (minor) = 12.30 min.

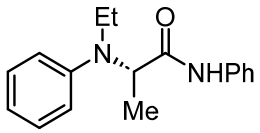
A gram-scale experiment: According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (2.05 mg, 9.0 mmol, 1.5 equiv) and *N*-methylaniline **N1** (642.9 mg, 6.0 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **1** as a white solid (1.21 g, 79% yield, 92% ee).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 7.54 – 7.52 (m, 2H), 7.33 – 7.28 (m, 4H), 7.12 – 7.08 (m, 1H), 6.93 – 6.89 (m, 3H), 4.44 (q, *J* = 7.0 Hz, 1H), 2.86 (s, 3H), 1.42 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 149.4, 137.6, 129.4, 129.0, 124.2, 119.6, 115.3, 61.5, 34.3, 11.4.

HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O$ $[M + H]^+$ 255.1492, found 255.1491.

(*S*)-2-(Ethyl(phenyl)amino)-*N*-phenylpropanamide (2)



2

According to General Procedure **A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-ethylaniline **N2** (24.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **2** as a yellowish oil (41.7 mg, 78% yield, 97% ee).

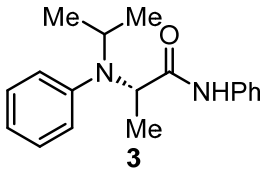
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.29 min, t_R (minor) = 14.51 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (s, 1H), 7.51 – 7.50 (m, 2H), 7.33 – 7.28 (m, 4H), 7.12 – 7.08 (m, 1H), 6.93 – 6.89 (m, 3H), 4.27 (q, *J* = 7.0 Hz, 1H), 3.44 – 3.35 (m, 1H), 3.32 – 3.23 (m, 1H), 1.45 (d, *J* = 7.0 Hz, 3H), 1.22 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 147.3, 137.6, 129.4, 129.0, 124.2, 120.2, 119.5, 117.4, 62.2, 42.7, 13.6, 12.8.

HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M+H]^+$ 269.1648, found 269.1646.

(*S*)-2-(Isopropyl(phenyl)amino)-*N*-phenylpropanamide (3)



3

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-isopropylaniline **N3** (27.0 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **3** as a yellowish oil (31.6 mg, 56% yield, 98% ee).

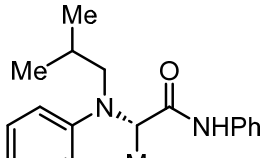
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.54 min, t_R (minor) = 14.73 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.85 (s, 1H), 7.53 – 7.51 (m, 2H), 7.34 – 7.30 (m, 2H), 7.27 – 7.23 (m, 2H), 7.12 – 7.08 (m, 1H), 6.91 – 6.85 (m, 3H), 4.16 (q, *J* = 6.0, 4.9 Hz, 1H), 4.13 – 4.07 (m, 1H), 1.43 (d, *J* = 7.0 Hz, 3H), 1.33 (d, *J* = 6.6 Hz, 3H), 1.23 (d, *J* = 6.5 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 145.7, 137.7, 129.04, 128.98, 124.2, 120.2, 119.6, 118.8, 55.2, 49.4, 21.7, 19.2, 14.1.

HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M+H]^+$ 283.1805, found 283.1802.

(*S*)-2-(Isobutyl(phenyl)amino)-*N*-phenylpropanamide (4)



4

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-isobutylaniline **N4** (29.8 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **4** as a colorless oil (42.7 mg, 72% yield, 97% ee).

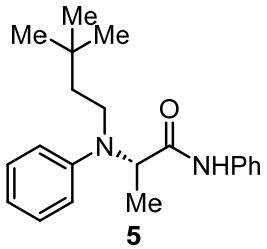
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.32 min, t_R (major) = 17.25 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.93 (s, 1H), 7.56 – 7.54 (m, 2H), 7.34 – 7.28 (m, 4H), 7.12 – 7.08 (m, 1H), 7.01 – 6.97 (m, 3H), 4.06 (q, *J* = 7.0 Hz, 1H), 3.07 – 3.02 (m, 1H), 2.83 – 2.77 (m, 1H), 1.96 – 1.85 (m, 1H), 1.35 (d, *J* = 7.1 Hz, 3H), 0.97 (d, *J* = 6.7 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 148.1, 137.8, 129.2, 129.0, 124.1, 121.8, 120.5, 119.2, 65.1, 56.8, 26.1, 20.7, 20.6, 11.9.

HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M+H]^+$ 297.1961, found 297.1959.

(*S*)-2-((3,3-Dimethylbutyl)(phenyl)amino)-*N*-phenylpropanamide (5)



5

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(3,3-dimethylbutyl)aniline **N5** (35.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **5** as a yellowish oil (48.0 mg, 74% yield, 97% ee).

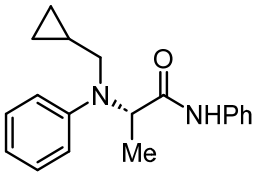
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) =8.92 min, t_R (minor) =9.87 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 7.50 – 7.48 (m, 2H), 7.34 – 7.27 (m, 4H), 7.12 – 7.08 (m, 1H), 6.92 – 6.88 (m, 3H), 4.25 (q, *J* = 7.0 Hz, 1H), 3.38 – 3.30 (m, 1H), 3.25 – 3.18 (m, 1H), 1.60 – 1.56 (m, 1H), 1.51 – 1.44 (m, 4H), 0.95 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 147.5, 137.6, 129.3, 129.0, 124.2, 120.0, 119.6, 117.3, 62.6, 44.8, 41.3, 30.0, 29.3, 12.8.

HRMS (ESI) m/z calcd. for $C_{21}H_{29}N_2O$ $[M+H]^+$ 325.2274, found 325.2271.

(*S*)-2-((Cyclopropylmethyl)(phenyl)amino)-*N*-phenylpropanamide (6)



6

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(cyclopropylmethyl)aniline **N6** (29.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **6** as a yellowish oil (53.0 mg, 90% yield, 97% ee).

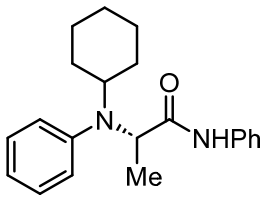
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.73 min, t_R (minor) = 14.95 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.56 – 7.53 (m, 2H), 7.34 – 7.27 (m, 4H), 7.12 – 7.08 (m, 1H), 6.98 – 6.96 (m, 2H), 6.94 – 6.90 (m, 1H), 4.29 (q, *J* = 7.1 Hz, 1H), 3.38 – 3.33 (m, 1H), 2.93 – 2.88 (m, 1H), 1.44 (d, *J* = 7.1 Hz, 3H), 1.11 – 1.07 (m, 1H), 0.62 – 0.54 (m, 2H), 0.29 – 0.21 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 147.9, 137.7, 129.2, 129.0, 124.1, 120.3, 119.3, 117.6, 62.5, 53.7, 12.4, 9.9, 4.9, 4.1.

HRMS (ESI) m/z calcd. for $C_{19}H_{23}N_2O$ $[M+H]^+$ 295.1805, found 295.1801.

(*S*)-2-(Cyclohexyl(phenyl)amino)-*N*-phenylpropanamide (7)



7

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv), *N*-cyclohexylaniline **N7** (35.0 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 30/1) to yield the product **7** as a yellowish oil (36.1 mg, 56% yield, 98% ee).

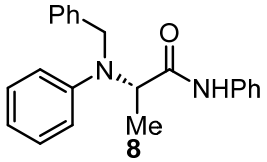
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 15.26 min, t_R (major) = 16.73 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 7.52 – 7.50 (m, 2H), 7.33 – 7.29 (m, 2H), 7.26 – 7.23 (m, 2H), 7.12 – 7.08 (m, 1H), 6.89 – 6.85 (m, 1H), 6.84 – 6.82 (m, 2H), 4.19 (q, *J* = 7.0 Hz, 1H), 3.67 – 3.60 (m, 1H), 2.03 – 2.00 (m, 1H), 1.96 – 1.85 (m, 3H), 1.74 – 1.70 (m, 1H), 1.64 – 1.46 (m, 2H), 1.43 (d, *J* = 7.0 Hz, 3H), 1.41 – 1.36 (m, 1H), 1.35 – 1.28 (m, 1H), 1.20 – 1.08 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 145.8, 137.7, 129.03, 128.96, 124.2, 120.0, 119.5, 118.4, 58.5, 55.9, 32.5, 29.9, 26.4, 25.8, 25.7, 14.1.

HRMS (ESI) m/z calcd. for $C_{21}H_{27}N_2O$ $[M+H]^+$ 323.2118, found 323.2115.

(*S*)-2-(Benzyl(phenyl)amino)-*N*-phenylpropanamide (8)



8

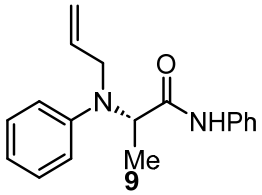
According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-benzylaniline **N8** (36.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **8** as a yellowish oil (36.3 mg, 55% yield, 96% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.10 min, t_R (minor) = 13.30 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.35 – 7.22 (m, 11H), 7.08 – 7.04 (m, 1H), 6.92 – 6.86 (m, 3H), 4.59 – 4.47 (m, 2H), 4.44 (q, *J* = 7.1 Hz, 1H), 1.50 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 147.6, 138.5, 137.5, 129.4, 128.9, 128.8, 127.3, 127.0, 124.2, 120.0, 119.6, 116.4, 61.3, 52.4, 12.8.
HRMS (ESI) m/z calcd. for$C_{22}H_{23}N_2O$ $[M+H]^+$ 331.1805, found 331.1801.

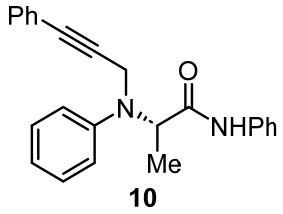
(*S*)-2-(Allyl(phenyl)amino)-*N*-phenylpropanamide (9)



9

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-allylaniline **N9** (26.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **9** as a yellowish oil (39.8 mg, 71% yield, 97% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.11 min, t_R (minor) = 12.43 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H), 7.50 – 7.48 (m, 2H), 7.33 – 7.25 (m, 4H), 7.12 – 7.07 (m, 1H), 6.92 – 6.87 (m, 3H), 5.99 – 5.90 (m, 1H), 5.30 – 5.22 (m, 2H), 4.39 (q, *J* = 7.0 Hz, 1H), 3.99 – 3.84 (m, 2H), 1.46 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 147.5, 137.6, 134.2, 129.3, 129.0, 124.2, 120.0, 119.6, 117.6, 116.6, 61.6, 50.9, 12.6.
HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648, found 281.1646.

(*S*)-*N*-Phenyl-2-(phenyl(3-phenylprop-2-yn-1-yl)amino)propanamide (10)

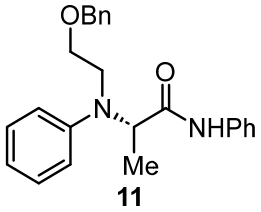


10

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(3-phenylprop-2-yn-1-yl)aniline **N10** (41.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **10** as a yellowish oil (43.2 mg, 61% yield, 97% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.46 min, t_R (minor) = 14.66 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.50 – 7.48 (m, 2H), 7.34 – 7.23 (m, 9H), 7.09 – 7.03 (m, 3H), 6.96 – 6.92 (m, 1H), 4.49 (q, *J* = 7.0 Hz, 1H), 4.36 – 4.26 (m, 2H), 1.60 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 147.0, 137.6, 131.7, 129.4, 128.9, 128.5, 128.3, 124.2, 122.3, 120.4, 119.7, 116.2, 85.2, 85.1, 60.9, 39.4, 13.3.
HRMS (ESI) m/z calcd. for $C_{24}H_{23}N_2O$ $[M+H]^+$ 355.1805, found 355.1800.

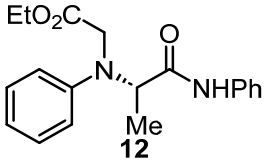
(*S*)-2-((2-(Benzyloxy)ethyl)(phenyl)amino)-*N*-phenylpropanamide (11)



11

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(2-(benzyloxy)ethyl)aniline **N11** (45.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **11** as a yellowish oil (44.9 mg, 60% yield, 96% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.25 min, t_R (minor) = 18.05 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.64 (s, 1H), 7.44 – 7.38 (m, 2H), 7.29 – 7.16 (m, 9H), 7.04 – 7.00 (m, 1H), 6.94 – 6.90 (m, 3H), 4.54 (s, 2H), 4.21 (q, *J* = 7.1 Hz, 1H), 3.76 – 3.71 (m, 1H), 3.66 – 3.62 (m, 1H), 3.52 – 3.49 (m, 2H), 1.44 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 147.3, 138.1, 137.3, 129.2, 128.7, 128.5, 127.9, 127.8, 123.8, 120.6, 119.8, 118.4, 73.3, 66.8, 64.1, 47.7, 12.8.
HRMS (ESI) m/z calcd. for $C_{24}H_{27}N_2O_2$ $[M+H]^+$ 375.2067, found 375.2064.

Ethyl (*S*)-*N*-(1-oxo-1-(phenylamino)propan-2-yl)-*N*-phenylglycinate (12)

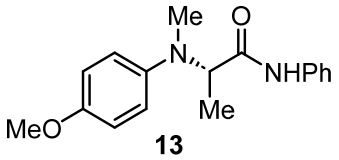


12

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and ethyl phenylglycinate **N12** (35.8 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **12** as a yellowish oil (44.4 mg, 68% yield, 96% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 17.19 min, t_R (minor) =23.88 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.21 (s, 1H), 7.65 – 7.62 (m, 2H), 7.29 – 7.22 (m, 2H), 7.25 – 7.22 (m, 2H), 7.06 – 7.03 (m, 1H), 6.85 – 6.81 (m, 1H), 6.69 – 6.67 (m, 2H), 4.39 – 4.29 (m, 3H), 4.26 – 4.08 (m, 2H), 1.59 (d, *J* = 7.2 Hz, 3H), 1.35 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.4, 171.7, 146.3, 138.4, 129.5, 128.8, 123.8, 119.6, 119.1, 113.0, 62.2, 61.1, 49.4, 15.2, 14.2.
HRMS (ESI) m/z calcd. for $C_{19}H_{23}N_2O_3$ $[M+H]^+$ 327.1703, found 327.1700.

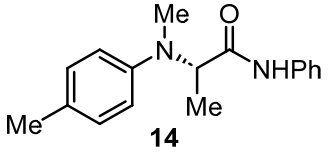
(*S*)-2-((4-Methoxyphenyl)(methyl)amino)-*N*-phenylpropanamide (13)



13

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 4-methoxy-*N*-methylaniline **N13** (27.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **13** as a yellowish oil (49.6 mg, 87% yield, 88% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.44 min, t_R (minor) = 13.84 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.88 (s, 1H), 7.57 – 7.54 (m, 2H), 7.35 – 7.28 (m, 2H), 7.12 – 7.08 (m, 1H), 6.92 – 6.85 (m, 4H), 4.22 (q, *J* = 7.0 Hz, 1H), 3.77 (s, 3H), 2.78 (s, 3H), 1.36 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 153.8, 143.5, 137.7, 128.9, 124.1, 119.5, 118.0, 114.6, 63.0, 55.6, 35.4, 11.1.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O_2$ $[M + H]^+$ 285.1598, found 285.1596.

(*S*)-2-(Methyl(*p*-tolyl)amino)-*N*-phenylpropanamide (14)

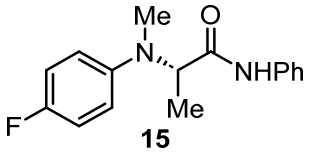


14

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*,4-dimethylaniline **N14** (24.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **14** as a yellowish oil (45.6 mg, 85% yield, 94% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.12 min, t_R (minor) = 17.64 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (s, 1H), 7.55 – 7.53 (m, 2H), 7.34 – 7.30 (m, 2H), 7.13 – 7.08 (m, 3H), 6.86 – 6.83 (m, 2H), 4.37 (q, *J* = 7.0 Hz, 1H), 2.82 (s, 3H), 2.30 (s, 3H), 1.40 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 147.2, 137.7, 129.9, 129.3, 129.0, 124.2, 119.5, 115.8, 62.0, 34.6, 20.3, 11.2.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M+H]^+$ 269.1648, found 269.1646.

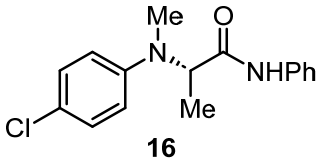
(*S*)-2-((4-Fluorophenyl)(methyl)amino)-*N*-phenylpropanamide (15)



15

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 4-fluoro-*N*-methylaniline **N15** (25.0 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **15** as a yellowish oil (44.1 mg, 81% yield, 95% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.82 min, t_R (minor) = 19.26 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.55 – 7.53 (m, 2H), 7.34 – 7.31 (m, 2H), 7.13 – 7.09 (m, 1H), 7.03 – 6.97 (m, 2H), 6.90 – 6.85 (m, 2H), 4.28 (q, *J* = 7.0 Hz, 1H), 2.82 (s, 3H), 1.39 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 157.1 (d, *J* = 238 Hz), 145.9 (d, *J* = 2.3 Hz), 137.6, 129.0, 124.30, 119.6, 117.3 (d, *J* = 7.6 Hz), 115.8 (d, *J* = 22.0 Hz), 62.6, 35.2, 11.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ –124.37.
HRMS (ESI) m/z calcd. for $C_{16}H_{18}FN_2O$ $[M+H]^+$ 273.1398, found 273.1395.

(*S*)-2-((4-Chlorophenyl)(methyl)amino)-*N*-phenylpropanamide (16)

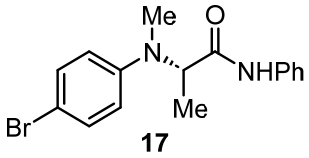


16

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 4-chloro-*N*-methylaniline **N16** (28.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **16** as a yellowish oil (50.8 mg, 88% yield, 97% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.06 min, t_R (minor) = 18.26 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.54 – 7.51 (m, 2H), 7.34 – 7.30 (m, 2H), 7.26 – 7.22 (m, 2H), 7.13 – 7.09 (m, 1H), 6.85– 6.80 (m, 2H), 4.36 (q, *J* = 7.0 Hz, 1H), 2.85 (s, 3H), 1.41 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 147.9, 137.5, 129.2, 129.0, 124.6, 124.4, 119.6, 116.4, 61.6, 34.6, 11.4.
HRMS (ESI) m/z calcd. for $C_{16}H_{18}ClN_2O$ $[M+H]^+$ 289.1102, found 289.1099.

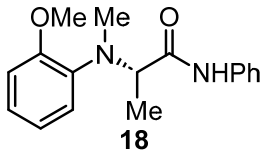
(*S*)-2-((4-Bromophenyl)(methyl)amino)-*N*-phenylpropanamide (17)



17

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv), 4-bromo-*N*-methylaniline **N17** (37.0 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **17** as a yellowish oil (58.0 mg, 87% yield, 97% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.27 min, t_R (minor) = 17.21 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (s, 1H), 7.53 – 7.51 (m, 2H), 7.40 – 7.37 (m, 2H), 7.34 – 7.30 (m, 2H), 7.13 – 7.09 (m, 1H), 6.80 – 6.76 (m, 2H), 4.36 (q, *J* = 7.0 Hz, 1H), 2.85 (s, 3H), 1.42 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, CDCl3) δ 170.8, 148.3, 137.5, 132.1, 129.0, 124.4, 119.6, 116.8, 111.8, 61.5, 34.6, 11.5.
HRMS (ESI) m/z calcd. for $C_{16}H_{18}BrN_2O$ $[M+H]^+$ 333.0597, found 333.0593.

(*S*)-2-((2-Methoxyphenyl)(methyl)amino)-*N*-phenylpropanamide (18)

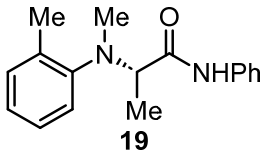


18

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 2-methoxy-*N*-methylaniline **A132** (27.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **18** as a yellowish oil (50.6 mg, 89% yield, 96% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.60 min, t_R (major) = 15.04 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.77 (s, 1H), 7.64 – 7.62 (m, 2H), 7.36 – 7.32 (m, 2H), 7.11 – 7.06 (m, 3H), 6.98 – 6.90 (m, 2H), 4.06 (q, *J* = 7.1 Hz, 1H), 3.89 (s, 3H), 2.68 (s, 3H), 1.28 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 152.8, 140.1, 138.6, 129.0, 123.9, 123.6, 121.2, 120.9, 118.9, 110.9, 61.9, 55.2, 34.7, 10.1.

HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O_2$ $[M+H]^+$ 285.1598, found 285.1593.

(*S*)-2-(Methyl(*o*-tolyl)amino)-*N*-phenylpropanamide (19)



19

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*,2-dimethylaniline **N19** (24.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **19** as a colorless oil (46.7 mg, 87% yield, 98% ee).

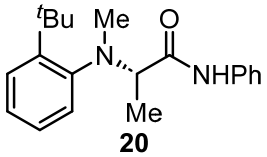
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.49 min, t_R (minor) = 12.58 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.27 (s, 1H), 7.60 – 7.58 (m, 2H), 7.37 – 7.33 (m, 2H), 7.24 – 7.20 (m, 2H), 7.18 – 7.16 (m, 1H), 7.14 – 7.06 (m, 2H), 3.79 (q, *J* = 7.0 Hz, 1H), 2.69 (s, 3H), 2.43 (s, 3H), 1.31 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 149.6, 137.8, 133.2, 131.5, 129.0, 126.9, 124.8, 124.1, 122.5, 119.3, 63.6, 38.2, 18.9, 12.9.

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

(*S*)-2-((2-(*tert*-Butyl)phenyl)(methyl)amino)-*N*-phenylpropanamide (20)



20

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 2-(*tert*-butyl)-*N*-methylaniline **N20** (32.7 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **20** as a colorless oil (47.8 mg, 77% yield, 98% ee).

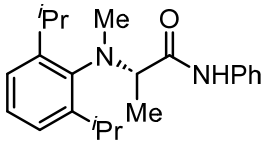
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 5.28 min, t_R (major) = 6.24 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.10 (s, 1H), 7.65 – 7.63 (m, 2H), 7.47 – 7.44 (m, 1H), 7.40 – 7.36 (m, 2H), 7.30 – 7.25 (m, 1H), 7.21 – 7.12 (m, 3H), 3.65 (q, *J* = 7.1 Hz, 1H), 2.66 (s, 3H), 1.60 (s, 9H), 1.17 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 149.4, 146.3, 138.0, 129.1, 127.6, 127.3, 126.7, 126.4, 124.1, 119.0, 67.6, 47.8, 35.6, 31.8, 18.6.

HRMS (ESI) m/z calcd. for $C_{20}H_{27}N_2O$ $[M+H]^+$ 311.2118, found 311.2110.

(*S*)-2-((2,6-Diisopropylphenyl)(methyl)amino)-*N*-phenylpropanamide (21)



21

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 2,6-diisopropyl-*N*-methylaniline **N21** (30.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **21** as a yellowish oil (53.5 mg, 79% yield, 89% ee).

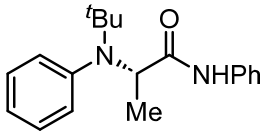
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.07 min, t_R (minor) = 11.78 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.06 (s, 1H), 7.63 (d, *J* = 8.0 Hz, 2H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.27 – 7.23 (m, 1H), 7.18 – 7.13 (m, 3H), 3.97 (q, *J* = 7.1 Hz, 1H), 3.74 – 3.64 (m, 1H), 3.08 – 2.98 (m, 1H), 2.85 (s, 3H), 1.38 – 1.34 (m, 6H), 1.30 – 1.25 (m, 6H), 1.10 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.6, 149.2, 147.8, 142.4, 137.9, 129.2, 127.3, 125.1, 124.2, 123.6, 119.2, 65.7, 43.3, 29.1, 28.6, 25.5, 24.5, 23.8, 23.4, 19.0.

HRMS (ESI) m/z calcd. for $C_{22}H_{31}N_2O$ $[M+H]^+$ 339.2431, found 339.2422.

(*S*)-2-(*tert*-Butyl(phenyl)amino)-*N*-phenylpropanamide (22)



22

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(*tert*-butyl)aniline **N22** (29.8 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **22** as a yellowish oil (39.7 mg, 67% yield, 93% ee).

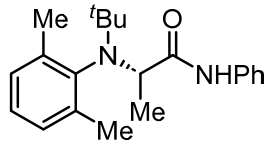
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 240 nm), t_R (minor) = 7.39 min, t_R (major) = 8.73 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.77 (s, 1H), 7.65 – 7.62 (m, 2H), 7.40 – 7.35 (m, 2H), 7.31 – 7.27 (m, 2H), 7.23 – 7.19 (m, 3H), 7.15 – 7.11 (m, 1H), 4.05 (q, *J* = 7.2 Hz, 1H), 1.25 (s, 9H), 1.17 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 174.7, 144.7, 137.8, 131.6, 129.1, 128.2, 126.1, 124.0, 119.0, 57.8, 57.0, 29.2, 18.4.

HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M+H]^+$ 297.1961, found 297.1954.

(*S*)-2-(*tert*-Butyl(2,6-dimethylphenyl)amino)-*N*-phenylpropanamide (23)



23

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-(*tert*-butyl)-2,6-dimethylaniline **N23** (34.5 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **23** as a colorless oil (46.1 mg, 71% yield, 90% ee).

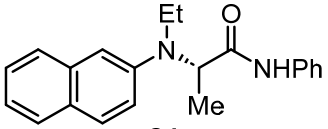
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 240 nm), t_R (minor) = 8.08 min, t_R (major) = 10.42 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H), 7.58 – 7.56 (m, 2H), 7.38 – 7.36 (m, 2H), 7.14 – 7.08 (m, 2H), 7.06 – 7.00 (m, 2H), 4.25 (q, *J* = 7.0 Hz, 1H), 2.66 (s, 3H), 2.31 (s, 3H), 1.21 (s, 9H), 1.01 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 176.5, 143.9, 140.4, 140.0, 137.9, 129.3, 129.2, 128.4, 125.9, 124.0, 119.0, 60.0, 58.2, 30.1, 22.1, 21.7, 20.7.

HRMS (ESI) m/z calcd. for $C_{21}H_{28}N_2NaO$ $[M+Na]^+$ 347.2094, found 347.2087.

(*S*)-2-(Ethyl(naphthalen-2-yl)amino)-*N*-phenylpropanamide (24)



24

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-ethylnaphthalen-2-amine **N24** (34.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **24** as a yellowish oil (25.5 mg, 40% yield, 98% ee).

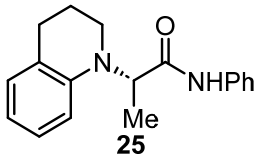
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.84 min, t_R (minor) = 23.80 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (s, 1H), 7.79 – 7.70 (m, 3H), 7.53 – 7.51 (m, 2H), 7.45 – 7.41 (m, 1H), 7.35 – 7.30 (m, 3H), 7.23 – 7.21 (m, 2H), 7.12 – 7.09 (m, 1H), 4.41 (q, *J* = 7.0 Hz, 1H), 3.55 – 3.46 (m, 1H), 3.41 – 3.32 (m, 1H), 1.49 (d, *J* = 7.0 Hz, 3H), 1.26 – 1.25 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 145.0, 137.6, 134.4, 129.2, 129.0, 128.6, 127.4, 126.7, 126.5, 124.3, 123.8, 119.6, 119.5, 112.7, 62. 4, 42.7, 13.5, 12.7.

HRMS (ESI) m/z calcd. for $C_{21}H_{23}N_2O$ $[M+H]^+$ 319.1805, found 319.1803.

(*S*)-2-(3,4-Dihydroquinolin-1(2*H*)-yl)-*N*-phenylpropanamide (25)



25

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 1,2,3,4-tetrahydroquinoline **N25** (26.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **25** as a colorless oil (53.3 mg, 95% yield, 94% ee).

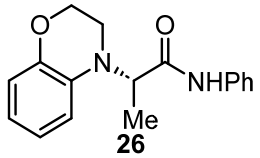
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.26 min, t_R (minor) = 23.06 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.51 – 7.49 (m, 2H), 7.32 – 7.28 (m, 2H), 7.10 – 7.04 (m, 3H), 6.76 – 6.72 (m, 1H), 6.68 – 6.66 (m, 1H), 4.41 (q, *J* = 7.0 Hz, 1H), 3.26 – 3.17 (m, 2H), 2.91 – 2.79 (m, 2H), 2.11 – 1.97 (m, 2H), 1.48 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.1, 144.2, 137.6, 129.9, 128.9, 127.1, 124.5, 124.2, 119.5, 118.4, 112.7, 59.1, 45.7, 27.8, 22.7, 11.2.

HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648, found 281.1646.

(*S*)-2-(2,3-Dihydro-4*H*-benzo[*b*][1,4]oxazin-4-yl)-*N*-phenylpropanamide (26)



26

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 3,4-dihydro-2*H*-benzo[*b*][1,4]oxazine **N26** (27.0 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **26** as a colorless oil (48.4 mg, 86% yield, 95% ee).

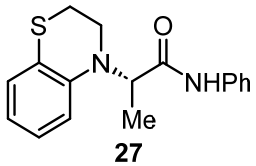
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.23 min, t_R (minor) = 21.20 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.51 – 7.49 (m, 2H), 7.33 – 7.30 (m, 2H), 7.13 – 7.09 (m, 1H), 6.88 – 6.82 (m, 2H), 6.80 – 6.72 (m, 2H), 4.37 – 4.32 (m, 3H), 3.37 – 3.27 (m, 2H), 1.49 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 145.3, 137.4, 133.1, 129.0, 124.4, 121.5, 120.3, 119.6, 117.1, 114.1, 65.0, 59.1, 43.9, 11.0.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}N_2O_2$ $[M+H]^+$ 283.1441, found 283.1437.

(*S*)-2-(2,3-Dihydro-4*H*-benzo[*b*][1,4]thiazin-4-yl)-*N*-phenylpropanamide (27)



27

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 3,4-dihydro-2*H*-benzo[*b*][1,4]thiazine **N27** (30.2 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **27** as a colorless oil (53.7 mg, 90% yield, 96% ee).

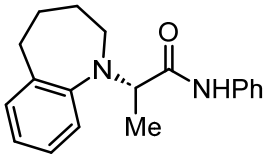
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.81 min, t_R (minor) = 22.23 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.54 – 7.52 (m, 2H), 7.33 – 7.29 (m, 2H), 7.16 – 7.14 (m, 1H), 7.12 – 7.08 (m, 1H), 7.06 – 7.02 (m, 1H), 6.82 – 6.78 (m, 2H), 4.48 (q, *J* = 7.0 Hz, 1H), 3.48 – 3.43 (m, 1H), 3.40 – 3.35 (m, 1H), 3.27 – 3.21 (m, 1H), 3.08 – 3.03 (m, 1H), 1.51 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 143.3, 137.5, 129.0, 127.9, 125.8, 124.3, 122.3, 120.2, 119.4, 115.0, 59.4, 45.3, 27.9, 11.6.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}N_2OS$ $[M+H]^+$ 299.1213, found 299.1209.

(*S*)-*N*-Phenyl-2-(2,3,4,5-tetrahydro-1*H*-benzo[b]azepin-1-yl)propanamide (28)



28

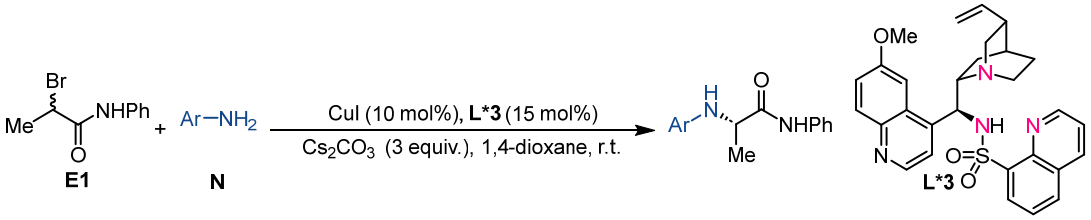
According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 2,3,4,5-tetrahydro-1*H*-benzo[*b*]azepine **N28** (29.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **28** as a colorless oil (52.4 mg, 89% yield, 97% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 13.19 min, t_R (minor) = 25.36 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.13 (s, 1H), 7.56 – 7.54 (m, 2H), 7.34 – 7.30 (m, 2H), 7.20 – 7.16 (m, 2H), 7.11 – 7.04 (m, 2H), 6.99 – 6.95 (m, 1H), 4.26 (q, *J* = 6.8 Hz, 1H), 3.24 – 3.19 (m, 1H), 2.97– 2.85 (m, 2H), 2.77 – 2.70 (m, 1H), 1.99 – 1.92 (m, 1H), 1.86 – 1.72 (m, 2H), 1.62 (d, *J* = 6.8 Hz, 3H), 1.49 – 1.38 (m, 1H).

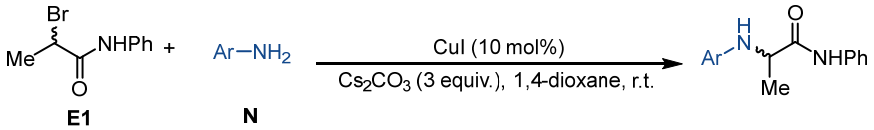
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 150.8, 137.7, 136.5, 130.6, 129.0, 127.1, 124.0, 122.9, 119.2, 119.0, 61.5, 50.2, 36.2, 30.5, 25.9, 13.2.

HRMS (ESI) m/z calcd. for $C_{19}H_{23}N_2O$ $[M+H]^+$ 295.1805, found 295.1801.



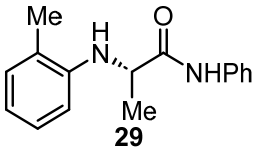
General procedure B:

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*3** (15.8 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 bromide (0.20 mmol, 1.0 equiv), primary aromatic amine (0.30 mmol, 1.5 equiv), and anhydrous 1,4-dioxane (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 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 bromide (0.20 mmol, 1.0 equiv), primary aromatic amine (0.30 mmol, 1.5 equiv), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at rt 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-(*o*-tolylamino)propanamide (29)

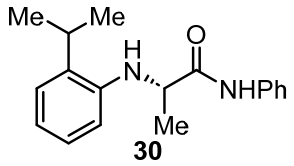


29

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg,

0.20 mmol, 1.0 equiv) and *o*-toluidine **N29** (32.2 mg, 0.30 mmol, 1.5 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 **29** as a white solid (43.2 mg, 85% yield, 90% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.06 min, t_R (minor) = 17.68 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 7.52 – 7.46 (m, 2H), 7.35 – 7.26 (m, 2H), 7.16 – 7.03 (m, 3H), 6.84 – 6.74 (m, 1H), 6.60 – 6.53 (m, 1H), 3.91 (q, *J* = 7.0 Hz, 1H), 3.83 (s, 1H), 2.27 (s, 3H), 1.64 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 144.5, 137.4, 130.4, 128.9, 127.5, 124.4, 122.6, 119.9, 119.4, 111.6, 56.2, 20.1, 17.6.
HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O$ $[M + H]^+$ 255.1492, found 255.1490.

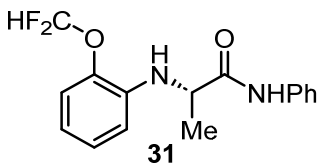
(*S*)-2-((2-Isopropylphenyl)amino)-*N*-phenylpropanamide (30)



30

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 2-isopropylaniline **N30** (40.5 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **30** as a colorless oil (37.7 mg, 67% yield, 96% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.79 min, t_R (minor) = 14.56 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.51 – 7.49 (m, 2H), 7.31 – 7.27 (m, 2H), 7.23 – 7.21 (m, 1H), 7.11 – 7.07 (m, 2H), 6.88 – 6.85 (m, 1H), 6.66 – 6.58 (m, 1H), 4.01 (s, 1H), 3.91 (q, *J* = 7.0 Hz, 1H), 3.00 (hept, *J* = 6.8 Hz, 1H), 1.64 (d, *J* = 7.1 Hz, 3H), 1.35 (d, *J* = 6.7 Hz, 3H), 1.32 (d, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 143.2, 137.4, 133.0, 128.9, 127.1, 125.3, 124.4, 119.8, 119.8, 112.3,56.4, 27.4, 22.6, 22.4, 20.1.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M + H]^+$ 283.1805, found 283.1801.

(*S*)-2-((2-(Difluoromethoxy)phenyl)amino)-*N*-phenylpropanamide (31)



31

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-(difluoromethoxy)aniline **N31** (47.7 mg, 0.30 mmol, 1.5 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 **31** as a white solid (53.3 mg, 87% yield, 95% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.38 min, t_R (minor) = 8.31 min.

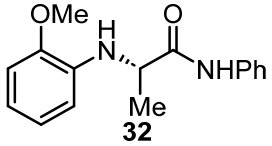
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.52 – 7.50 (m, 2H), 7.32 – 7.27 (m, 2H), 7.12 – 7.07 (m, 3H), 6.82 – 6.78 (m, 1H), 6.67 – 6.65 (m, 1H), 6.59 (t, *J* = 73.9 Hz, 1H), 4.50 – 4.46 (m, 1H), 3.90 – 3.84 (m, 1H), 1.64 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 138.5, 138.46 (t, *J* = 2.4 Hz), 137.3, 129.0, 126.8, 124.5, 119.7, 119.2, 116.6 (t, *J* = 260.2 Hz), 113.2, 55.9, 19.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ –80.06.

HRMS (ESI) m/z calcd. for $C_{16}H_{17}F_2N_2O_2$ $[M + H]^+$ 307.1253, found 307.1253.

(*S*)-2-((2-Methoxyphenyl)amino)-*N*-phenylpropanamide (32)



32

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-methoxyaniline **N32** (37.0 mg, 0.30 mmol, 1.5 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 **32** as a yellowish solid (40.5 mg, 75% yield, 88% ee).

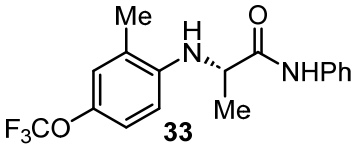
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.27 min, t_R (minor) = 15.36 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (s, 1H), 7.53 – 7.51 (m, 2H), 7.31 – 7.26 (m, 2H), 7.10 – 7.06 (m, 1H), 6.86 – 6.77 (m, 3H), 6.57 – 6.54 (m, 1H), 4.56 (s, 1H), 3.91 (s, 3H), 3.81 (q, *J* = 7.2 Hz, 1H), 1.62 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 146.9, 137.5, 136.3, 128.9, 124.2, 121.4, 119.8, 119.0, 111.7, 109.5, 56.3, 55.4, 19.8.

HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O_2$ $[M + H]^+$ 271.1441, found 271.1440.

(*S*)-2-((2-Methyl-4-(trifluoromethoxy)phenyl)amino)-*N*-phenylpropanamide (33)

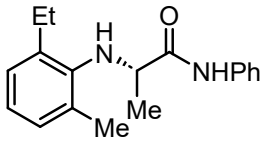


33

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-methyl-4-(trifluoromethoxy)aniline **N33** (57.4 mg, 0.30 mmol, 1.5 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 **33** as a colorless oil (49.4 mg, 73% yield, 96% ee).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.49 min, t_R (minor) = 5.85 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.52 – 7.49 (m, 2H), 7.32 – 7.28 (m, 2H), 7.13 – 7.09 (m, 1H), 7.02 – 6.99 (m, 1H), 6.97 – 6.94 (m, 1H), 6.51 (d, *J* = 8.7 Hz, 1H), 3.91 – 3.86 (m, 2H), 2.27 (s, 3H), 1.64 (d, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 143.2, 141.7 (q, *J* = 1.4 Hz), 137.2, 129.0, 124.6, 124.0, 123.5, 141.7 (q, *J* = 254.2 Hz), 120.2, 119.9, 111.8, 56.3, 20.0, 17.6.
^{19}F NMR (376 MHz, $CDCl_3$) δ –58.27.
HRMS (ESI) m/z calcd. for $C_{17}H_{18}F_3N_2O_2$ $[M + H]^+$ 339.1315, found 339.1314.

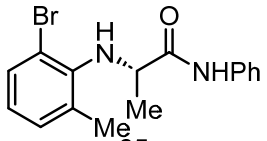
(*S*)-2-((2-Ethyl-6-methylphenyl)amino)-*N*-phenylpropanamide (34)



34

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-ethyl-6-methylaniline **N34** (40.6 mg, 0.30 mmol, 1.5 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 **34** as a yellowish oil (41.8 mg, 74% yield, 93% ee).
HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.05 min, t_R (minor) = 12.12 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 7.62 – 7.59 (m, 2H), 7.37 – 7.32 (m, 2H), 7.14 – 7.10 (m, 1H), 7.09 – 7.02 (m, 2H), 6.97 – 6.93 (m, 1H), 3.72 (q, *J* = 7.0 Hz, 1H), 3.44 (s, 1H), 2.73 – 2.57 (m, 2H), 2.31 (s, 3H), 1.51 (d, *J* = 6.9 Hz, 3H), 1.26 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 143.5, 137.6, 135.1, 129.4, 129.3, 129.0, 127.1, 124.3, 123.1, 119.5, 59.3, 24.4, 19.6, 19.0, 14.8.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M + H]^+$ 283.1805, found 283.1803.

(*S*)-2-((2-Bromo-6-methylphenyl)amino)-*N*-phenylpropanamide (35)

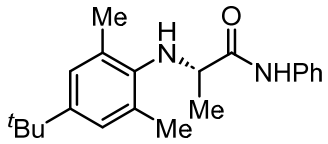


35

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-bromo-6-methylaniline **N35** (55.8 mg, 0.30 mmol, 1.5 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 **35** as a colorless oil (39.3 mg, 59% yield, 94% ee).

HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 11.16 min, t_R (minor) = 12.09 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.31 (s, 1H), 7.64 – 7.61 (m, 2H), 7.43 – 7.40 (m, 1H), 7.37 – 7.33 (m, 2H), 7.16 – 7.10 (m, 2H), 6.85 – 6.81 (m, 1H), 3.98 – 3.93 (m, 1H), 3.90 – 3.83 (m, 1H), 2.34 (s, 3H), 1.57 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 143.5, 137.6, 131.2, 131.1, 130.9, 129.1, 124.4, 124.0, 119.5, 117.7, 58.9, 19.6, 19.4.
HRMS (ESI) m/z calcd. for $C_{16}H_{18}BrN_2O$ $[M + H]^+$ 333.0597, found 333.0596.

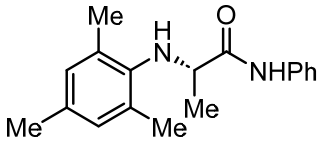
(*S*)-2-((4-(*tert*-butyl)-2,6-dimethylphenyl)amino)-*N*-phenylpropanamide (36)



36

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 4-(*tert*-butyl)-2,6-dimethylaniline **N36** (35.5 mg, 0.30 mmol, 1.5 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 **36** as a yellowish oil (46.7 mg, 72% yield, 90% ee).
HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.06 min, t_R (minor) = 12.57 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.51 (s, 1H), 7.61 – 7.59 (m, 2H), 7.35 – 7.31 (m, 2H), 7.14 – 7.09 (m, 1H), 7.04 (s, 2H), 3.73 (q, *J* = 7.0 Hz, 1H), 3.30 (s, 1H), 2.31 (s, 6H), 1.52 (d, *J* = 6.9 Hz, 3H), 1.29 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 145.5, 141.6, 137.6, 129.0, 128.4, 126.3, 124.2, 119.3, 58.7, 33.9, 31.4, 20.0, 19.1.
HRMS (ESI) m/z calcd. for $C_{21}H_{29}N_2O$ $[M + H]^+$ 325.2274, found 325.2272.

(*S*)-2-(Mesitylamino)-*N*-phenylpropanamide (37)

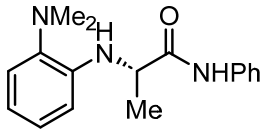


37

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2,4,6-trimethylaniline **N37** (40.6 mg, 0.30 mmol, 1.5 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 **37** as a yellowish solid (42.4 mg, 75% yield, 88% ee).
HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254

nm), t_R (major) = 9.16 min, t_R (minor) = 12.24 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.49 (s, 1H), 7.62 – 7.60 (m, 2H), 7.36 – 7.32 (m, 2H), 7.14 – 7.10 (m, 1H), 6.85 (s, 2H), 3.69 (q, *J* = 7.0 Hz, 1H), 3.26 (s, 1H), 2.27 (s, 6H), 2.24 (s, 3H), 1.50 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 141.6, 137.6, 132.2, 130.0, 129.03, 129.01, 124.3, 119.4, 58.9, 20.5, 19.7, 18.7.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M + H]^+$ 283.1805, found 283.1804.

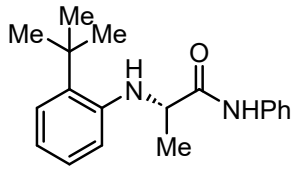
(*S*)-2-((2-(Dimethylamino)phenyl)amino)-*N*-phenylpropanamide (38)



38

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-Amino-N,N-dimethylaniline **N38** (40.9 mg, 0.30 mmol, 1.5 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 **38** as a yellowish solid (36.8 mg, 65% yield, 88% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.62 min, t_R (minor) = 16.67 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (s, 1H), 7.52 – 7.50 (m, 2H), 7.32 – 7.27 (m, 2H), 7.13 – 7.06 (m, 2H), 7.01 – 6.97 (m, 1H), 6.84 – 6.80 (m, 1H), 6.59 – 6.56 (m, 1H), 5.16 (s, 1H), 3.79 (q, *J* = 7.1 Hz, 1H), 2.71 (s, 6H), 1.63 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 141.7, 141.0, 137.4, 128.9, 125.2, 124.3, 119.70, 119.66, 119.3, 111.9, 56.7, 44.4, 19.9.
HRMS (ESI) m/z calcd. for $C_{17}H_{22}N_3O$ $[M + H]^+$ 284.1757, found 284.1757.

(*S*)-2-((2-(*tert*-butyl)phenyl)amino)-*N*-phenylpropanamide (39)

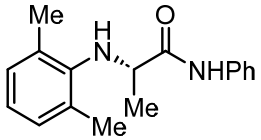


39

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-(*tert*-butyl)aniline **N39** (44.8 mg, 0.30 mmol, 1.5 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 **39** as a white solid (40.3 mg, 68% yield, 95% ee).
HPLC analysis: Chiralcel ADH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254

nm), t_R (minor) = 6.33 min, t_R (major) = 7.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.50 – 7.48 (m, 2H), 7.32 – 7.28 (m, 3H), 7.13 – 7.07 (m, 2H), 6.84 – 6.80 (m, 1H), 6.60 – 6.58 (m, 1H), 4.34 – 4.31 (m, 1H), 3.96 – 3.90 (m, 1H), 1.66 (d, *J* = 7.0 Hz, 3H), 1.52 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 144.6, 137.4, 134.2, 128.9, 127.6, 126.5, 124.4, 119.7, 119.4, 113.3, 56.6, 34.2, 30.3, 20.0.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M + H]^+$ 297.1961, found 297.1960.

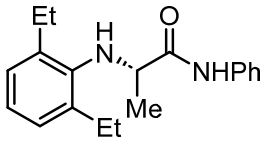
(*S*)-2-((2,6-Dimethylphenyl)amino)-*N*-phenylpropanamide (40)



40

According to **General Procedure** B with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 2,6-dimethylaniline **N40** (36.3 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **40** as a colorless oil (30.0 mg, 56% yield, 97% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 9.71 min, t_R (minor) = 11.44 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.61 – 7.59 (m, 2H), 7.36 – 7.32 (m, 2H), 7.14 – 7.10 (m, 1H), 7.04 – 7.02 (m, 2H), 6.90 – 6.86 (m, 1H), 3.75 (q, *J* = 7.0 Hz, 1H), 3.39 (s, 1H), 2.31 (s, 6H), 1.52 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 144.2, 137.6, 129.4, 129.0, 128.9, 124.3, 122.8, 119.5, 58.7, 19.9, 18.8.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1645.

(*S*)-2-((2,6-Diethylphenyl)amino)-*N*-phenylpropanamide (41)



41

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2,6-diethylaniline **N41** (44.8 mg, 0.30 mmol, 1.5 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 **41** as a colorless oil (42.1 mg, 71% yield, 96% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.02 min, t_R (minor) = 6.82 min.
A gram-scale experiment: According to **General Procedure A** with 2-bromo-*N*-

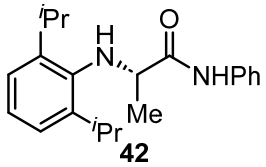
phenylpropanamide **E1** (1.37 g, 6.0 mmol, 1.0 equiv) and 2,6-diethylaniline **N41** (1.34 g, 9.0 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **37** as a colorless oil (1.33 g, 75% yield, 90% ee).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.62 – 7.60 (m, 2H), 7.37 – 7.33 (m, 2H), 7.15 – 7.11 (m, 1H), 7.10 – 7.08 (m, 2H), 7.03 – 7.00 (m, 1H), 3.69 (q, *J* = 7.0 Hz, 1H), 3.43 (s, 1H), 2.74 – 2.58 (m, 4H), 1.50 (d, *J* = 6.9 Hz, 3H), 1.26 (t, *J* = 7.5 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 142.8, 137.6, 135.7, 129.0, 127.0, 124.3, 123.5, 119.5, 60.0, 24.5, 19.3, 14.8.

HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M + H]^+$ 297.1961, found 297.1960.

(*S*)-2-((2,6-Diisopropylphenyl)amino)-*N*-phenylpropanamide (42)



42

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2,6-diisopropylaniline **N42** (53.2 mg, 0.30 mmol, 1.5 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 **42** as a white solid (44.1 mg, 68% yield, 91% ee).

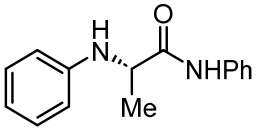
HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 5.80 min, t_R (minor) = 7.32 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.45 (s, 1H), 7.63 – 7.60 (m, 2H), 7.37 – 7.33 (m, 2H), 7.16 – 7.08 (m, 4H), 3.62 (q, *J* = 7.0 Hz, 1H), 3.44 (s, 1H), 3.18 (hept, *J* = 6.8 Hz, 2H), 1.49 (d, *J* = 6.9 Hz, 3H), 1.28 (d, *J* = 6.8 Hz, 6H), 1.22 (d, *J* = 6.8 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 141.6, 140.6, 137.6, 129.0, 124.32, 124.27, 123.9, 119.4, 61.1, 28.0, 24.1, 24.0, 18.5.

HRMS (ESI) m/z calcd. for $C_{21}H_{29}N_2O$ $[M + H]^+$ 325.2274, found 325.2274.

(*S*)-*N*-Phenyl-2-(phenylamino)propanamide (43)

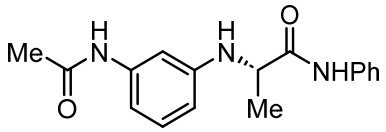


43

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and aniline **N43** (27.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **43** as a white solid (42.5 mg, 88% yield, 92% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 12.10 min, t_R (major) = 13.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.52 – 7.48 (m, 2H), 7.30 (t, *J* = 7.8 Hz, 2H), 7.22 (t, *J* = 7.9 Hz, 2H), 7.11 – 7.07 (m, 1H), 6.86 – 6.83 (m, 1H), 6.69 – 6.67 (m, 2H), 3.95 (s, 1H), 3.87 (q, *J* = 7.0 Hz, 1H), 1.60 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 146.4, 137.4, 129.5, 128.9, 124.4, 119.81, 119.76, 114.0, 56.4, 19.8.
HRMS (ESI) m/z calcd. for $C_{15}H_{17}N_2O$ $[M + H]^+$ 241.1335, found 241.1331.

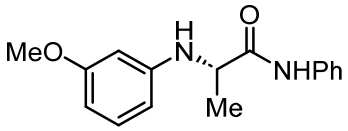
(*S*)-2-((3-Acetamidophenyl)amino)-*N*-phenylpropanamide (44)



44

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and *N*-(3-aminophenyl)acetamide **N44** (45.1 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **44** as a white solid (56.0 mg, 94% yield, 95% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.30 min, t_R (minor) = 19.61 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.52 – 7.50 (m, 2H), 7.45 (s, 1H), 7.31 –7.26 (m, 2H), 7.14 – 7.07 (m, 2H), 7.04 (s, 1H), 6.88 – 6.86 (m, 1H), 6.39 – 6.38 (m, 1H), 4.08 (s, 1H), 3.84 (q, *J* = 6.9 Hz, 1H), 2.13 (s, 3H), 1.56 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 168.6, 147.1, 139.1, 137.4, 130.0, 128.9, 124.4, 119.9, 110.9, 109.4, 105.5, 56.2, 24.6, 19.7.
HRMS (ESI) m/z calcd. for $C_{17}H_{20}N_3O_2$ $[M + H]^+$ 298.1550, found 298.1546.

(*S*)-2-((3-Methoxyphenyl)amino)-*N*-phenylpropanamide (45)

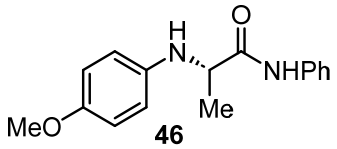


45

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 3-methoxyaniline **N45** (36.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **45** as a white solid (44.5 mg, 82% yield, 94% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 15.35 min, t_R (minor) = 18.20 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 7.52 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.14 – 7.07 (m, 2H), 6.41 – 6.39 (m, 1H), 6.29 – 6.27 (m, 1H), 6.23 (s, 1H), 3.97 (s, 1H), 3.88 (q, *J* = 7.0 Hz, 1H), 3.75 (s, 3H), 1.59 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 160.8, 147.8, 137.4, 130.3, 128.9, 124.4, 119.8, 106.6, 104.9, 100.2, 56.2, 55.1, 19.7.
HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O_2$ $[M + H]^+$ 271.1441, found 271.1439.

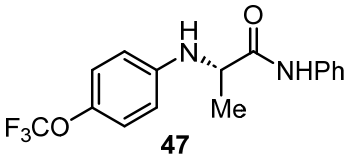
(*S*)-2-((4-Methoxyphenyl)amino)-*N*-phenylpropanamide (46)



46

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-methoxyaniline **N46** (36.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **46** as a white solid (45.6 mg, 84% yield, 87% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 16.76 min, t_R (minor) = 20.43 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.82 (s, 1H), 7.53 – 7.51 (m, 2H), 7.31 – 7.25 (m, 2H), 7.10 – 7.06 (m, 1H), 6.80 – 6.76 (m, 2H), 6.64 – 6.59 (m, 2H), 3.77 (q, *J* = 7.1 Hz, 1H), 3.72 (s, 3H), 1.56 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 153.4, 140.3, 137.5, 128.9, 124.3, 119.7, 115.1, 114.9, 57.0, 55.6, 19.7.
HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O_2$ $[M + H]^+$ 271.1441, found 271.1438.

(*S*)-*N*-Phenyl-2-((4-(trifluoromethoxy)phenyl)amino)propanamide (47)

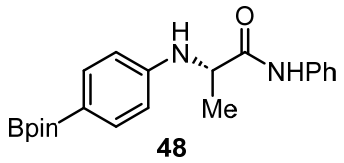


47

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-(trifluoromethoxy)aniline **N47** (53.1 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **47** as a colorless oil (45.0 mg, 69% yield, 95% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 9.80 min, t_R (major) = 12.47 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H), 7.52 – 7.50 (m, 2H), 7.32 – 7.9 (m, 2H), 7.13 – 7.06 (m, 3H), 6.65 – 6.63 (m, 2H), 4.10 (s, 1H), 3.84 (q, *J* = 7.0 Hz, 1H), 1.60 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 145.1, 142.1 (d, *J* = 2.0 Hz), 137.2, 129.0, 124.6, 122.6, 120.6 (q, *J* = 254.3 Hz), 119.9, 114.4, 56.4, 19.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ –58.40.
HRMS (ESI) m/z calcd. for $C_{16}H_{16}F_3N_2O_2$ $[M + H]^+$ 325.1158, found 325.1152.

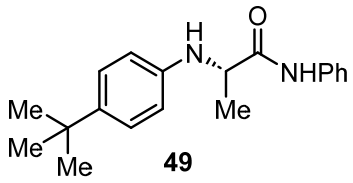
(*S*)-*N*-Phenyl-2-((4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)amino)propanamide (48)



48

According to **General Procedure E** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)aniline **N48** (65.7 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **48** as a colorless oil (50.0 mg, 68% yield, 96% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 14.65 min, t_R (major) = 16.87 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.68 – 7.66 (m, 2H), 7.49 – 7.46 (m, 2H), 7.31 – 7.27 (m, 2H), 7.11 – 7.07 (m, 1H), 6.67 – 6.65 (m, 2H), 4.15 (s, 1H), 3.93 (q, *J* = 7.1 Hz, 1H), 1.61 (d, *J* = 7.1 Hz, 3H), 1.31 (s, 12H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 148.8, 137.3, 136.5, 128.9, 124.5, 119.9, 113.0, 83.4, 55.8, 24.8, 19.7.
HRMS (ESI) m/z calcd. for $C_{21}H_{28}BN_2O_3$ $[M + H]^+$ 367.2187, found 367.2182.

(*S*)-2-((4-(*tert*-Butyl)phenyl)amino)-*N*-phenylpropanamide (49)

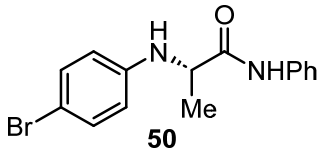


49

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-(*tert*-butyl)aniline **N49** (44.7 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **49** as a white solid (48.1 mg, 81% yield, 90% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.66 min, t_R (minor) = 17.08 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 7.54 – 7.52 (m, 2H), 7.31 – 7.27 (m, 2H), 7.25 – 7.23 (m, 2H), 7.10 – 7.07 (m, 1H), 6.65 – 6.62 (m, 2H), 3.88 – 3.81 (m, 2H), 1.57 (d, *J* = 7.0 Hz, 3H), 1.27 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 144.1, 142.6, 137.5, 128.9, 126.3, 124.3, 119.8, 113.7, 56.6, 34.0, 31.4, 19.8.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M + H]^+$ 297.1961, found 297.1957.

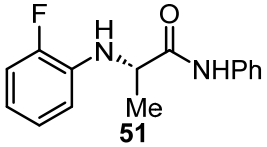
(*S*)-2-((4-Bromophenyl)amino)-*N*-phenylpropanamide (50)



50

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-bromoaniline **N50** (51.3 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel to yield the product **50** (54.0 mg, 85% yield, 96% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 12.69 min, t_R (major) = 16.07 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H), 7.50 – 7.48 (m, 2H), 7.31 – 7.25 (m, 4H), 7.12 – 7.08 (m, 1H), 6.55 – 6.53 (m, 2H), 4.10 (d, *J* = 6.8 Hz, 1H), 3.82 (q, *J* = 7.0 Hz, 1H), 1.58 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 145.3, 137.2, 132.2, 129.0, 124.6, 119.9, 115.4, 111.6, 56.1, 19.6.
HRMS (ESI) m/z calcd. for $C_{15}H_{16}BrN_2O$ $[M + H]^+$ 319.0441, found 319.0441.

(*S*)-2-((2-Fluorophenyl)amino)-*N*-phenylpropanamide (51)

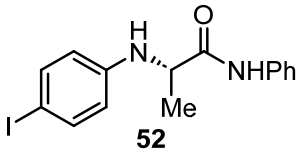


51

According to **General procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.6 mg, 0.20 mmol, 1.0 equiv) and 2-fluoroaniline **N51** (33.3 mg, 0.30 mmol, 1.5 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 **51** as a white solid (35.6 mg, 69% yield, 92% ee).
HPLC analysis: Chiralcel IA3 (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 11.30 min, t_R (minor) = 12.24 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H), 7.54 – 7.51 (m, 2H), 7.32 – 7.28 (m, 2H), 7.12 – 7.08 (m, 1H), 7.07 – 7.01 (m, 1H), 7.01 – 6.97 (m, 1H), 6.80 – 6.75 (m, 1H), 6.68 – 6.63 (m, 1H), 4.24 (s, 1H), 3.90 – 3.84 (m, 1H), 1.64 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 151.6 (d, *J* = 239.3 Hz), 137.3, 134.8 (d, *J* = 11.7 Hz), 129.0, 125.0 (d, *J* = 3.7 Hz), 124.5, 119.8, 119.4 (d, *J* = 7.2 Hz), 114.8 (d, *J* = 18.6 Hz), 113.7 (d, *J* = 2.6 Hz), 56.1, 19.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ –135.04.

HRMS (ESI) m/z calcd. for $C_{15}H_{16}FN_2O$ $[M + H]^+$ 259.1241, found 259.1240.

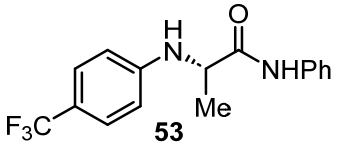
(*S*)-2-((4-Iodophenyl)amino)-*N*-phenylpropanamide (52)



52

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-iodoaniline **N52** (65.7 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **52** as a white solid (58.9 mg, 80% yield, 95% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 14.47 min, t_R (major) = 18.11 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.50 – 7.46 (m, 4H), 7.32 – 7.28 (m, 2H), 7.12 – 7.08 (m, 1H), 6.46 – 6.44 (m, 2H), 4.04 (s, 1H), 3.82 (q, *J* = 7.1 Hz, 1H), 1.59 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 145.9, 138.1, 137.2, 129.0, 124.6, 119.8, 116.0, 81.1, 56.1, 19.7.
HRMS (ESI) m/z calcd. for $C_{15}H_{16}IN_2O$ $[M + H]^+$ 367.0302, found 367.0296.

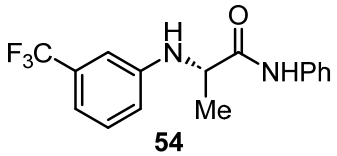
(*S*)-*N*-Phenyl-2-((4-(trifluoromethyl)phenyl)amino)propenamide (53)



53

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-(trifluoromethyl)aniline **N53** (48.3 mg, 0.30 mmol, 1.5 equiv) for 120 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 4/1) to yield the product **53** as a colorless oil (37.8 mg, 61% yield, 97% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 10.33 min, t_R (major) = 13.74 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.50 – 7.44 (m, 4H), 7.33 – 7.29 (m, 2H), 7.13 – 7.09 (m, 1H), 6.71 – 6.69 (m, 2H), 4.35 (s, 1H), 3.96 – 3.90 (m, 1H), 1.63 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 148.9, 137.1, 129.0, 126.9 (q, *J* = 3.7 Hz), 124.7, 124.5 (q, *J* = 269.0 Hz), 121.5 (q, *J* = 33.0 Hz), 119.9, 113.3, 55.8, 19.6.
^{19}F NMR (376 MHz, $CDCl_3$) δ –61.43.
HRMS (ESI) m/z calcd. for $C_{16}H_{16}F_3N_2O$ $[M + H]^+$ 309.1209, found 309.1209.

(*S*)-*N*-Phenyl-2-((3-(trifluoromethyl)phenyl)amino)propanamide (54)



54

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 3-(trifluoromethyl)aniline **N54** (48.3 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 4/1) to yield the product **54** as a colorless oil (40.0 mg, 65% yield, 97% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 15.08 min, t_R (major) = 17.49 min.

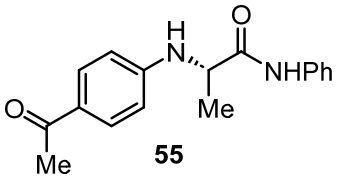
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.51 – 7.49 (m, 2H), 7.32 – 7.29 (m, 3H), 7.13 – 7.07 (m, 3H), 6.93 (s, 1H), 6.80 – 6.78 (m, 1H), 4.25 (s, 1H), 3.95 – 3.89 (m, 1H), 1.62 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 146.6, 137.1, 131.9 (q, *J* = 32.0 Hz), 130.2, 129.0, 124.7, 123.9 (q, *J* = 270.8 Hz), 120.0, 116.3, 116.1 (q, *J* = 3.8 Hz), 110.8 (q, *J* = 4.0 Hz), 56.0, 19.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.85.

HRMS (ESI) m/z calcd. for $C_{16}H_{16}F_3N_2O$ $[M + H]^+$ 309.1209, found 309.1208.

(*S*)-2-((4-Acetylphenyl)amino)-*N*-phenylpropanamide (55)



55

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 1-(4-aminophenyl)ethan-1-one **N55** (40.5 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 4/1) to yield the product **55** as a white solid (37.0 mg, 66% yield, 95% ee).

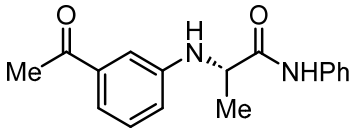
HPLC analysis: Chiralcel AD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 16.91 min, t_R (major) = 26.45 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.85 – 7.83 (m, 2H), 7.50 – 7.48 (m, 2H), 7.32 – 7.28 (m, 2H), 7.13 – 7.09 (m, 1H), 6.67 – 6.65 (m, 2H), 4.64 (s, 1H), 4.01 (q, *J* = 7.1 Hz, 1H), 2.50 (s, 3H), 1.63 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 196.6, 171.4, 150.3, 137.1, 130.8, 129.0, 128.7, 124.7, 120.0, 112.8, 55.3, 26.1, 19.5.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}N_2O_2$ $[M + H]^+$ 283.1441, found 283.1439.

(*S*)-2-((3-Acetylphenyl)amino)-*N*-phenylpropanamide (56)



56

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 1-(3-aminophenyl)ethan-1-one **N56** (40.5 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 4/1) to yield the product **56** as a white solid (34.5 mg, 61% yield, 97% ee).

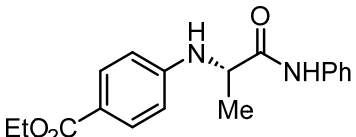
HPLC analysis: Chiralcel AD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 16.78 min, t_R (major) = 22.64 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (s, 1H), 7.52 – 7.50 (m, 2H), 7.40 – 7.39 (m, 1H), 7.32 – 7.28 (m, 4H), 7.12 – 7.08 (m, 1H), 6.85 – 6.83 (m, 1H), 4.28 (s, 1H), 3.97 – 3.93 (m, 1H), 2.56 (s, 3H), 1.61 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 198.3, 171.9, 146.7, 138.2, 137.3, 129.8, 129.0, 124.5, 119.9, 119.8, 117.9, 113.4, 56.0, 26.7, 19.6.

HRMS (ESI) m/z calcd. for $C_{17}H_{19}N_2O_2$ $[M + H]^+$ 283.1441, found 283.1439.

Ethyl (*S*)-4-((1-oxo-1-(phenylamino)propan-2-yl)amino)benzoate (57)



57

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and benzocaine **N57** (49.6 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **57** as a white solid (53.7 mg, 86% yield, 97% ee).

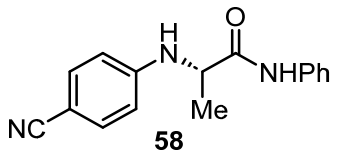
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.45 min, t_R (major) = 9.02 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.91 – 7.89 (m, 2H), 7.49 – 7.47 (m, 2H), 7.31 – 7.27 (m, 2H), 7.12 – 7.08 (m, 1H), 6.66 – 6.64 (m, 2H), 4.54 – 4.53 (m, 1H), 4.31 (q, *J* = 7.1 Hz, 2H), 4.01 – 3.95 (m, 1H), 1.62 (d, *J* = 7.0 Hz, 3H), 1.35 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 166.5, 150.0, 137.1, 131.6, 129.0, 124.7, 121.2, 120.0, 112.8, 60.5, 55.4, 19.5, 14.3.

HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O_3$ $[M + H]^+$ 313.1547, found 313.1541.

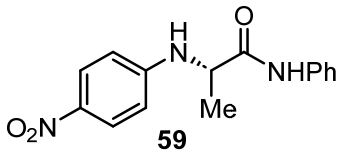
(*S*)-2-((4-Cyanophenyl)amino)-*N*-phenylpropanamide (58)



58

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 4-aminobenzonitrile **N58** (35.4 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **58** as a white solid (40.0 mg, 75% yield, 96% ee).
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (minor) = 8.77 min, t_R (major) = 11.92 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.49 – 7.47 (m, 4H), 7.34 – 7.30 (m, 2H), 7.15 – 7.11 (m, 1H), 6.69 – 6.67 (m, 2H), 4.59 (s, 1H), 4.01 – 3.95 (m, 1H), 1.64 (d, *J* = 7.1 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 149.6, 137.0, 133.9, 129.1, 124.9, 120.0, 119.6, 113.6, 101.7, 55.3, 19.5.
HRMS (ESI) m/z calcd. for $C_{16}H_{16}N_3O$ $[M + H]^+$ 266.1288, found 266.1286.

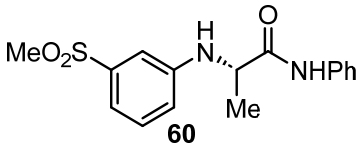
(*S*)-2-((4-Nitrophenyl)amino)-*N*-phenylpropanamide (59)



59

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.20 mmol, 1.0 equiv) and 4-nitroaniline **N59** (41.4 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **59** as a yellowish solid (23.4 mg, 41% yield, 83% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) =9.10 min, t_R (major) =16.52 min.
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.22 (s, 1H), 8.05 – 7.99 (m, 2H), 7.63 – 7.59 (m, 2H), 7.54 – 7.52 (m, 1H), 7.33 – 7.29 (m, 2H), 7.09 – 7.04 (m, 1H), 6.70 – 6.68 (m, 2H), 4.33 – 4.18 (m, 1H), 1.47 (d, *J* = 6.8 Hz, 3H).
^{13}C NMR (100 MHz, DMSO-d_6) δ 171.4, 153.7, 138.7, 136.4, 128.8, 126.2, 123.6, 119.4, 52.4, 18.6.
HRMS (ESI) m/z calcd. for $C_{15}H_{16}N_3O_3$ $[M+H]^+$ 286.1186, found 286.1184.

(*S*)-2-((3-(Methylsulfonyl)phenyl)amino)-*N*-phenylpropanamide (60)



60

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 3-(methylsulfonyl)aniline **N60** (51.3 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **60** as a white solid (48.9 mg, 77% yield, 97% ee).

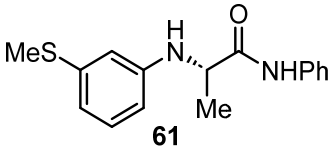
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.88 min, t_R (major) = 16.83 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.51 – 7.49 (m, 2H), 7.37 – 7.33 (m, 1H), 7.32 – 7.26 (m, 4H), 7.11 – 7.07 (m, 1H), 6.85 – 6.82 (m, 1H), 4.81 – 4.80 (m, 1H), 4.01 – 3.95 (m, 1H), 3.03 (s, 3H), 1.57 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 147.4, 141.3, 137.3, 130.7, 128.9, 124.6, 120.0, 117.7, 116.9, 112.2, 55.4, 44.3, 19.3.

HRMS (ESI) m/z calcd. for $C_{16}H_{19}N_2O_3$ $[M + H]^+$ 319.1111, found 319.1106.

(*S*)-2-((3-(Methylthio)phenyl)amino)-*N*-phenylpropanamide (61)



61

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 3-(methylthio)aniline **N61** (41.7 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **61** as a white solid (45.5 mg, 80% yield, 92% ee).

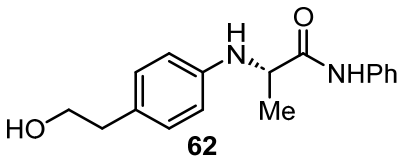
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 27.80 min, t_R (minor) = 36.48 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H), 7.52 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.14 – 7.08 (m, 2H), 6.74 – 6.72 (m, 1H), 6.57 (s, 1H), 6.44 – 6.42 (m, 1H), 4.01 (s, 1H), 3.87 (q, *J* = 7.0 Hz, 1H), 2.43 (s, 3H), 1.59 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 146.8, 139.9, 137.3, 129.8, 128.9, 124.4, 119.8, 117.6, 111.7, 110.6, 19.7, 15.5.

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

(*S*)-2-((4-(2-Hydroxyethyl)phenyl)amino)-*N*-phenylpropanamide (62)



62

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 2-(4-aminophenyl)ethan-1-ol **N62** (27.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (EtOAc/CH_3OH = 50/1) to yield the product **62** as a colorless oil (51.2 mg, 90% yield, 96% ee).

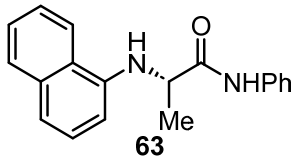
HPLC analysis: Chiralcel AD (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 21.38 min, t_R (major) = 23.68 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (s, 1H), 7.52 – 7.50 (m, 2H), 7.30 – 7.26 (m, 2H), 7.10 – 7.04 (m, 3H), 6.63 – 6.61 (m, 2H), 3.99 (s, 1H) 3.85 – 3.76 (m, 3H), 2.75 (t, *J* = 6.6 Hz, 2H), 1.84 (s, 1H), 1.57 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.5, 145.0, 137.4, 130.0, 129.5, 128.9, 124.4, 119.8, 114.1, 63.7, 56.4, 38.2, 19.7.

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

(*S*)-2-(Naphthalen-1-ylamino)-*N*-phenylpropanamide (63)



63

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and naphthalen-1-amine **N63** (42.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **63** as a white solid (36.0 mg, 62% yield, 94% ee).

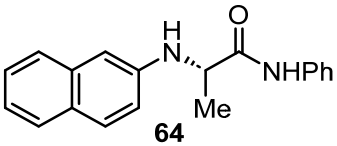
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 17.67 min, t_R (minor) = 20.79 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 7.95 – 7.91 (m, 1H), 7.87 – 7.83 (m, 1H), 7.56 – 7.50 (m, 2H), 7.48 – 7.46 (m, 2H), 7.38 – 7.25 (m, 4H), 7.09 – 7.05 (m, 1H), 6.63 – 6.61 (m, 1H), 4.67 (s, 1H), 4.08 (q, *J* = 7.0 Hz, 1H), 1.74 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 141.3, 137.4, 134.2, 129.0, 128.9, 126.5, 126.1, 125.4, 124.4, 123.4, 119.89, 119.87, 119.4, 106.7, 56.2, 20.0.

HRMS (ESI) m/z calcd. for $C_{19}H_{19}N_2O$ $[M + H]^+$ 291.1492, found 291.1489.

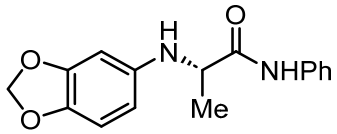
(*S*)-2-(Naphthalen-2-ylamino)-*N*-phenylpropanamide (64)



64

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and naphthalen-2-amine **N64** (42.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **64** as a white solid (40.0 mg, 69% yield, 93% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 15.44 min, t_R (minor) = 19.96 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.70 – 7.67 (m, 2H), 7.61 – 7.59 (m, 1H), 7.50 – 7.48 (m, 2H), 7.38 – 7.34 (m, 1H), 7.28 – 7.23 (m, 3H), 7.08 – 7.05 (m, 1H), 6.98 – 6.95 (m, 1H), 6.86 – 6.85 (m, 1H), 4.18 (s, 1H), 4.00 (q, *J* = 7.0 Hz, 1H), 1.64 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 143.9, 137.3, 134.7, 129.3, 128.9, 128.4, 127.5, 126.6, 126.4, 124.4, 123.1, 119.9, 117.6, 106.8, 56.2, 19.7.
HRMS (ESI) m/z calcd. for $C_{19}H_{19}N_2O_3$ $[M + H]^+$ 291.1492, found 291.1489.

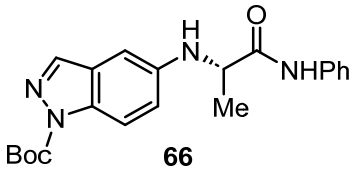
(*S*)-2-(Benzo[*d*][1,3]dioxol-5-ylamino)-*N*-phenylpropanamide (65)



65

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and benzo[*d*][1,3]dioxol-5-amine **N65** (41.1 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to yield the product **65** as a white solid (54.0 mg, 95% yield, 91% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 85/15, flow rate 0.5 mL/min, λ = 254 nm), t_R (major) = 37.95 min, t_R (minor) = 41.89 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (s, 1H), 7.53 – 7.51 (m, 2H), 7.32 – 7.28 (m, 2H), 7.11 – 7.07 (m, 1H), 6.66 – 6.64 (m, 1H), 6.29 (d, *J* = 0.5 Hz, 1H), 6.09 – 6.07 (m, 1H), 5.86 (d, *J* = 0.5 Hz, 2H), 3.77 (q, *J* = 7.0 Hz, 1H), 3.38 (s, 1H) 1.56 (d, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 148.5, 141.8, 141.2, 137.4, 128.9, 124.4, 119.8, 108.7, 105.6, 100.9, 97.0, 57.0, 19.7.
HRMS (ESI) m/z calcd. for $C_{16}H_{17}N_2O_3$ $[M + H]^+$ 285.1234, found 285.1229.

***tert*-Butyl (*S*)-5-((1-oxo-1-(phenylamino)propan-2-yl)amino)-1*H*-indazole-1-carboxylate (66)**



66

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and *tert*-butyl 5-amino-1*H*-indazole-1-carboxylate **N66** (69.9 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to yield the product **66** as a white solid (50.5 mg, 66% yield, 91% ee).

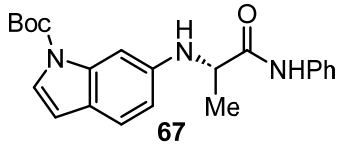
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 28.02 min, t_R (minor) = 32.06 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (s, 1H), 8.02 (d, *J* = 9.0 Hz, 1H), 7.98 (s, 1H), 7.52 – 7.50 (m, 2H), 7.31 – 7.27 (m, 2H), 7.11 – 7.07 (m, 1H), 7.00 – 6.97 (m, 1H), 6.82 (d, *J* = 0.6 Hz, 1H), 4.24 (s, 1H), 3.91 (q, *J* = 7.0 Hz, 1H), 1.70 (s, 9H), 1.64 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 149.1, 143.0, 139.0, 137.3, 134.6, 128.9, 126.8, 124.4, 119.7, 118.8, 115.4, 102.0, 84.7, 56.7, 28.1, 19.7.

HRMS (ESI) m/z calcd. for $C_{21}H_{25}N_4O_3$ $[M + H]^+$ 381.1921, found 381.1914.

***tert*-Butyl (*S*)-6-((1-oxo-1-(phenylamino)propan-2-yl)amino)-1*H*-indole-1-carboxylate (67)**



67

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and *tert*-butyl 6-amino-1*H*-indole-1-carboxylate **N67** (69.6 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 4/1) to yield the product **67** as a white solid (63.9 mg, 84% yield, 90% ee).

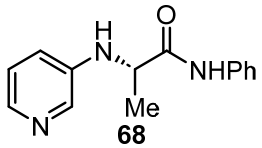
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1 mL/min, λ = 254 nm), t_R (major) = 17.56 min, t_R (minor) = 34.69 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (s, 1H), 7.53 – 7.51 (m, 3H), 7.43 (d, *J* = 3.7 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.30 – 7.25 (m, 2H), 7.09 – 7.05 (m, 1H), 6.63 (dd, *J* = 8.4, 2.2 Hz, 1H), 6.45 (d, *J* = 3.7 Hz, 1H), 4.05 (s, 1H), 3.94 (q, *J* = 7.0 Hz, 1H), 1.61 – 1.60 (m, 12H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 149.8, 144.1, 137.5, 136.3, 128.9, 124.2, 123.9, 121.6, 119.8, 110.9, 107.1, 100.3, 83.7, 56.7, 28.1, 19.8.

HRMS (ESI) m/z calcd. for $C_{22}H_{26}N_3O_3$ $[M + H]^+$ 380.1969, found 380.1968.

(*S*)-*N*-Phenyl-2-(pyridin-3-ylamino)propanamide (68)



68

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv), pyridin-3-amine **N68** (28.2 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (EtOAc) to yield the product **68** as a yellowish oil (15.1 mg, 31% yield, 92% ee).

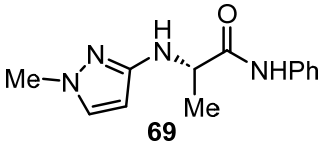
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 1 mL/min, λ = 254 nm), t_R (minor) = 11.83 min, t_R (major) = 26.44 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (s, 1H), 8.27 – 7.97 (m, 2H), 7.53 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.21 – 7.08 (m, 2H), 6.95 – 6.93 (m, 1H), 3.90 (q, *J* = 7.1 Hz, 1H), 3.52 (s, 1H), 1.64 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 140.1, 137.3, 136.6, 129.0, 124.6, 124.3, 119.9, 119.8, 55.5, 19.6.

HRMS (ESI) m/z calcd. for $C_{14}H_{16}N_3O$ $[M + H]^+$ 242.1288, found 242.1287.

(*S*)-2-((1-Methyl-1*H*-pyrazol-3-yl)amino)-*N*-phenylpropanamide (69)



69

According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv) and 1-methyl-1*H*-pyrazol-3-amine **N69** (29.1 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 1/1) to yield the product **69** as a white solid (41.0 mg, 84% yield, 84% ee).

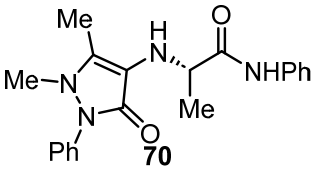
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 28.61 min, t_R (major) = 37.40 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.56 – 7.54 (m, 2H), 7.32 – 7.28 (m, 2H), 7.12 – 7.07 (m, 2H), 5.55 (d, *J* = 2.2 Hz, 1H), 4.04 (s, 1H), 3.95 (q, *J* = 7.1 Hz, 1H), 3.73 (s, 3H), 1.54 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 155.5, 137.8, 131.5, 128.9, 124.1, 119.6, 91.5, 56.3, 38.6, 19.1.

HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_4O$ $[M + H]^+$ 245.1397, found 245.1395.

(*S*)-2-((1,5-Dimethyl-3-oxo-2-phenyl-2,3-dihydro-1*H*-pyrazol-4-yl)amino)-*N*-phenylpropanamide (70)



70

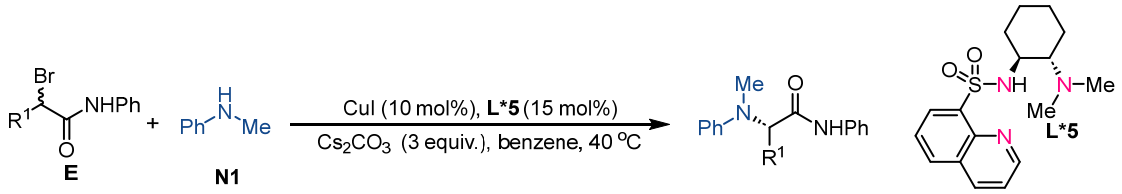
According to **General Procedure B** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and 4-amino-1,5-dimethyl-2-phenyl-1,2-dihydro-3*H*-pyrazol-3-one **N70** (40.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (EtOAc) to yield the product **70** as a colorless oil (63.7 mg, 91% yield, 83% ee).

HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 25.40 min, t_R (major) = 34.09 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.59 (s, 1H), 7.66 – 7.64 (m, 2H), 7.47 – 7.40 (m, 4H), 7.32 – 7.28 (m, 3H), 7.10 – 7.06 (m, 1H), 3.87 (q, *J* = 7.1 Hz, 1H), 3.21 (s, 1H), 2.91 (s, 3H), 2.19 (s, 3H), 1.53 (d, *J* = 7.0 Hz, 3H).

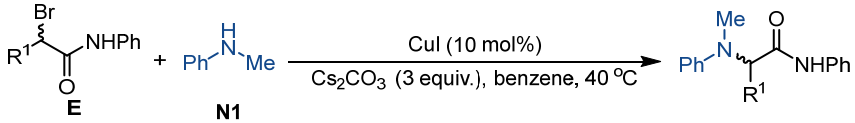
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.2, 162.8, 143.1, 138.1, 134.7, 129.2, 128.8, 126.6, 124.0, 123.6, 119.7, 119.1, 58.4, 37.0, 19.8, 10.6.

HRMS (ESI) m/z calcd. for $C_{20}H_{23}N_4O_2$ $[M + H]^+$ 351.1816, found 351.1811.



General procedure C:

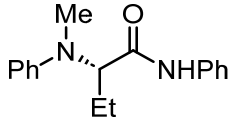
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol %), **L*5** (10.0 mg, 0.03 mmol, 15 mol %), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv), and anhydrous benzene (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, alkyl bromide (0.24 mmol, 1.2 equiv), secondary aromatic amine (0.20 mmol, 1.0 equiv), and anhydrous benzene (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 40 °C for 72 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 bromide (0.24 mmol, 1.2 equiv), secondary aromatic amine (0.20 mmol, 1.0 equiv), and anhydrous benzene (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 40 °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.

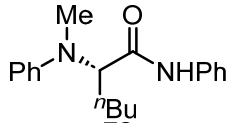
(*S*)-2-(Methyl(phenyl)amino)-*N*-phenylbutanamide (71)



71

According to **General Procedure C** with 2-bromo-*N*-phenylbutanamide **E2** (57.8 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **71** as a white solid (47.2 mg, 88% yield, 96% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.39 min, t_R (minor) = 14.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.51 – 7.48 (m, 2H), 7.32 – 7.27 (m, 4H), 7.11 – 7.07 (m, 1H), 6.92 – 6.84 (m, 3H), 4.25 (dd, *J* = 9.8, 4.9 Hz, 1H), 2.91 (s, 3H), 2.36 – 2.26 (m, 1H), 1.90 – 1.78 (m, 1H), 0.88 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 149.9, 137.6, 129.4, 128.9, 124.3, 119.7, 118.9, 114.3, 67.0, 33.9, 21.3, 11.8.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O$ $[M + H]^+$ 269.1648, found 269.1647.

(*S*)-2-(Methyl(phenyl)amino)-*N*-phenylhexanamide (72)

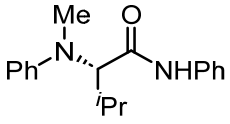


72

According to **General Procedure C** with 2-bromo-*N*-phenylhexanamide **E3** (64.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **72** as a yellowish oil (42.1 mg, 71% yield, 93% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.30 min, t_R (minor) = 9.21 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (s, 1H), 7.51 – 7.49 (m, 2H), 7.33 – 7.28 (m, 4H), 7.12 – 7.08 (m, 1H), 6.92 – 6.85 (m, 3H), 4.33 (dd, *J* = 9.6, 4.9 Hz, 1H), 2.90 (s, 3H), 2.31 – 2.22 (m, 1H), 1.87 – 1.76 (m, 1H), 1.35 – 1.19 (m, 4H), 0.84 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 149.8, 137.6, 129.5, 129.0, 124.3, 119.7, 119.0, 114.30, 65.6, 33.9, 29.2, 27.7, 22.5, 13.9.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M+H]^+$ 297.1961, found 297.1963.

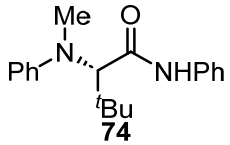
(*S*)-3-Methyl-2-(methyl(phenyl)amino)-*N*-phenylbutanamide (73)



73

According to **General Procedure C** with 2-bromo-3-methyl-*N*-phenylbutanamide **E4** (61.2 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **73** as a yellowish oil (28.8 mg, 51% yield, 93% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.92 min, t_R (minor) = 8.55 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (s, 1H), 7.40 – 7.37 (m, 2H), 7.31 – 7.24 (m, 4H), 7.09 – 7.05 (m, 1H), 6.91 – 6.89 (m, 2H), 6.84 – 6.80 (m, 1H), 3.98 (d, *J* = 9.5 Hz, 1H), 2.87 (s, 3H), 2.58 – 2.46 (m, 1H), 1.14 (d, *J* = 6.5 Hz, 3H), 0.95 (d, *J* = 6.9 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 150.0, 137.5, 129.6, 128.9, 124.3, 120.0, 118.3, 113.8, 70.3, 33.5, 27.8, 20.9, 19.7.
HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O$ $[M+H]^+$ 283.1805, found 283.1806.

(*S*)-3,3-Dimethyl-2-(methyl(phenyl)amino)-*N*-phenylbutanamide (74)

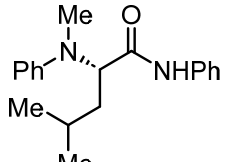


74

According to **General Procedure C** with 2-bromo-3,3-dimethyl-*N*-phenylbutanamide **E5** (64.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **74** as a yellowish oil (30.8 mg, 52% yield, 81% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.05 min, t_R (minor) = 6.79 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (s, 1H), 7.44 – 7.42 (m, 2H), 7.33 – 7.27 (m, 4H), 7.10 – 7.06 (m, 1H), 6.94 – 6.92 (m, 2H), 6.85 – 6.81 (m, 1H), 4.34 (s, 1H), 2.99 (s, 3H), 1.20 (s, 9H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.2, 150.3, 137.6, 129.5, 128.9, 124.3, 120.1, 118.1, 113.2, 70.6, 37.5, 35.9, 28.8.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M+H]^+$ 297.1961, found 297.1964.

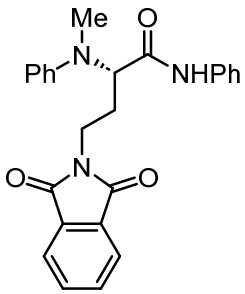
(*S*)-4-Methyl-2-(methyl(phenyl)amino)-*N*-phenylpentanamide (75)



75

According to **General Procedure C** with 2-bromo-4-methyl-*N*-phenylpentanamide **E6** (64.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **75** as a yellowish oil (37.9 mg, 64% yield, 86% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.41 min, t_R (minor) = 8.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.51 – 7.48 (m, 2H), 7.33 – 7.27 (m, 4H), 7.12 – 7.07 (m, 1H), 6.92 – 6.85 (m, 3H), 4.42 (dd, *J* = 9.7, 4.8 Hz, 1H), 2.89 (s, 3H), 2.10 – 2.03 (m, 1H), 1.80 – 1.73 (m, 1H), 1.57 – 1.49 (m, 1H), 0.91 (d, *J* = 6.7 Hz, 3H), 0.80 (d, *J* = 6.5 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 149.7, 137.6, 129.5, 129.0, 124.3, 119.7, 119.0, 114.3, 63.5, 37.0, 33.7, 25.0, 23.3, 21.6.
HRMS (ESI) m/z calcd. for $C_{19}H_{25}N_2O$ $[M+H]^+$ 297.1961, found 297.1963.

(*S*)-4-(1,3-Dioxoisoindolin-2-yl)-2-(methyl(phenyl)amino)-*N*-phenylbutanamide (76)

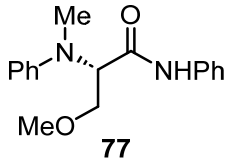


76

According to General **Procedure C** with 2-bromo-4-(1,3-dioxoisoindolin-2-yl)-*N*-phenylbutanamide **E7** (92.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 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 **76** as a yellowish oil (64.5 mg, 78% yield, 96% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 40.12 min, t_R (minor) = 44.24 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.80 – 7.76 (m, 2H), 7.70 – 7.66 (m, 2H), 7.52 – 7.48 (m, 2H), 7.32 – 7.27 (m, 2H), 7.24 – 7.19 (m, 2H), 7.11 – 7.07 (m, 1H), 6.90 – 6.87 (m, 2H), 6.82 – 6.78 (m, 1H), 4.48 (dd, *J* = 8.2, 5.7 Hz, 1H), 3.75 – 3.59 (m, 2H), 2.94 (s, 3H), 2.67 – 2.59 (m, 1H), 2.15 – 2.04 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 168.2, 149.3, 137.4, 133.8, 132.0, 129.5, 128.9, 124.3, 123.1, 119.7, 119.3, 114.5, 63.4, 36.0, 33.7, 27.1.
HRMS (ESI) m/z calcd. for $C_{25}H_{24}N_3O_3$ $[M+H]^+$ 414.1812, found 414.1811.

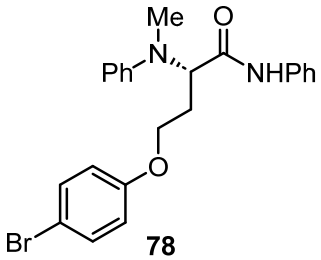
(*S*)-3-Methoxy-2-(methyl(phenyl)amino)-*N*-phenylpropanamide (77)



77

According to **General Procedure C** with 2-bromo-3-methoxy-*N*-phenylpropanamide **E8** (61.7 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **77** as a yellowish oil (39.8 mg, 70% yield, 85% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.43 min, t_R (minor) = 18.03 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (s, 1H), 7.52 – 7.49 (m, 2H), 7.33 – 7.26 (m, 4H), 7.12 – 7.08 (m, 1H), 6.92 – 6.85 (m, 3H), 4.50 (dd, *J* = 7.7, 4.7 Hz, 1H), 4.05 (dd, *J* = 10.5, 4.7 Hz, 1H), 3.91 (dd, *J* = 10.5, 7.8 Hz, 1H), 3.30 (s, 3H), 3.01 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 149.9, 137.4, 129.3, 129.0, 124.4, 119.8, 119.3, 114.8, 69.9, 65.5, 58.9, 34.8.
HRMS (ESI) m/z calcd. for $C_{17}H_{21}N_2O_2$ $[M+H]^+$ 285.1598, found 285.1598.

(*S*)-4-(4-Bromophenoxy)-2-(methyl(phenyl)amino)-*N*-phenylbutanamide (78)



78

According to General **Procedure C** with 2-bromo-4-(4-bromophenoxy)-*N*-

phenylbutanamide **E9** (99.1 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **78** as a yellowish oil (43.9 mg, 50% yield, 95% ee).

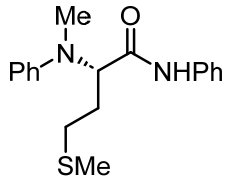
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.30 min, t_R (minor) = 19.73 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.50 – 7.48 (m, 2H), 7.34 – 7.26 (m, 5H), 7.26 – 7.24 (m, 1H), 7.13 – 7.09 (m, 1H), 6.94 – 6.91 (m, 2H), 6.87 – 6.83 (m, 1H), 6.68 – 6.64 (m, 2H), 4.71 (dd, *J* = 7.9, 5.9 Hz, 1H), 4.08 – 4.03 (m, 1H), 3.94 – 3.89 (m, 1H), 2.92 (s, 3H), 2.77 – 2.68 (m, 1H), 2.24 – 2.13 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 157.6, 148.8, 137.4, 132.1, 129.5, 129.0, 124.4, 119.8, 119.4, 116.3, 114.6, 112.9, 65.2, 62.0, 35.1, 27.1.

HRMS (ESI) m/z calcd. for $C_{23}H_{24}BrN_2O_2$ $[M+H]^+$ 439.1016, found 439.1020.

(*S*)-2-(Methyl(phenyl)amino)-4-(methylthio)-*N*-phenylbutanamide (79)



79

According to General **Procedure C** with 2-bromo-4-(methylthio)-*N*-phenylbutanamide **E10** (69.2 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **79** as a yellowish oil (34.6 mg, 55% yield, 93% ee).

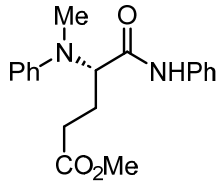
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 14.44 min, t_R (minor) = 27.23 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.50 – 7.47 (m, 2H), 7.34 – 7.28 (m, 4H), 7.13 – 7.08 (m, 1H), 6.97 – 6.94 (m, 2H), 6.91 – 6.87 (m, 1H), 4.67 (dd, *J* = 8.3, 5.0 Hz, 1H), 2.90 (s, 3H), 2.61 – 2.50 (m, 2H), 2.48 – 2.43 (m, 1H), 2.07 – 1.98 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.5, 149.2, 137.5, 129.5, 129.0, 124.4, 119.7, 119.3, 114.6, 63.6, 34.5, 31.4, 26.7, 15.1.

HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2OS$ $[M+H]^+$ 315.1526, found 315.1525.

Methyl (*S*)-4-(Methyl(phenyl)amino)-5-oxo-5-(phenylamino)pentanoate (80)



80

According to **General Procedure C** with methyl 4-bromo-5-oxo-5-(phenylamino)pentanoate **E11** (72.0 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product **80** as a yellowish oil (58.8 mg, 90% yield, 90% ee).

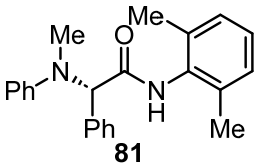
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.41 min, t_R (minor) = 14.81 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 7.49 – 7.46 (m, 2H), 7.32 – 7.27 (m, 4H), 7.12 – 7.07 (m, 1H), 6.92 – 6.85 (m, 3H), 4.51 (dd, *J* = 8.7, 5.9 Hz, 1H), 3.58 (s, 3H), 2.88 (s, 3H), 2.59 – 2.50 (m, 1H), 2.37 (t, *J* = 7.3 Hz, 2H), 2.12 – 2.03 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 170.1, 149.2, 137.4, 129.5, 128.9, 124.3, 119.7, 119.2, 114.3, 63.9, 51.5, 34.0, 31.0, 23.0.

HRMS (ESI) m/z calcd. for $C_{19}H_{23}N_2O_3$ $[M+H]^+$ 327.1703, found 327.1704.

(*S*)-*N*-(2,6-Dimethylphenyl)-2-(methyl(phenyl)amino)-2-phenylacetamide (81)



81

According to **General procedure B** with 2-chloro-*N*-(2,6-dimethylphenyl)-2-phenylacetamide **E12** (54.8 mg, 0.20 mmol, 1.0 equiv) and *N*-methylaniline N**1** (32.2 mg, 0.30 mmol, 1.5 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 **81** as a white solid (55.8 mg, 81% yield, 94% ee).

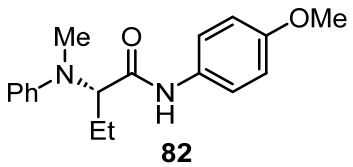
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 15.67 min, t_R (minor) = 18.82 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 7.36 – 7.28 (m, 7H), 7.08 – 7.00 (m, 5H), 6.94 – 6.90 (m, 1H), 5.51 (s, 1H), 2.73 (s, 3H), 2.11 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 150.4, 135.6, 135.1, 133.4, 129.4, 129.2, 128.5, 128.2, 128.0, 127.2, 120.1, 116.0, 70.7, 36.6, 18.6.

HRMS (ESI) m/z calcd. for $C_{23}H_{25}N_2O$ $[M + H]^+$ 345.1961, found 345.1960.

(*S*)-*N*-(4-Methoxyphenyl)-2-(methyl(phenyl)amino)butanamide (82)



82

According to General **Procedure C** with 2-bromo-*N*-(4-methoxyphenyl)butanamide **E13** (65.0 mg, 0.24 mmol, 1.2 equiv), *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **82** as a colorless oil (50.7 mg, 85% yield, 96% ee).

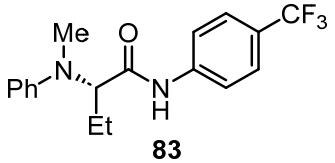
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.37 min, t_R (minor) = 22.76 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (s, 1H), 7.42 – 7.38 (m, 2H), 7.32 – 7.27 (m, 2H), 6.92 – 6.82 (m, 5H), 4.25 (dd, *J* = 9.9, 4.8 Hz, 1H), 3.78 (s, 3H), 2.91 (s, 3H), 2.37 – 2.26 (m, 1H), 1.90 – 1.78 (m, 1H), 0.88 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.6, 156.4, 150.0, 130.7, 129.4, 121.5, 118.8, 114.2, 114.1, 67.0, 55.4, 33.9, 21.4, 11.8.

HRMS (ESI) m/z calcd. for $C_{18}H_{23}N_2O_2$ $[M+H]^+$ 299.1754, found 299.1755.

(*S*)-2-(Methyl(phenyl)amino)-*N*-(4-(trifluoromethyl)phenyl)butanamide (83)



83

According to General **Procedure C** with 2-bromo-*N*-(4-(trifluoromethyl)phenyl)butanamide **E14** (74.2 mg, 0.24 mmol, 1.2 equiv), *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **83** as a colorless oil (45.7 mg, 68% yield, 95% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.37 min, t_R (minor) = 8.44 min.

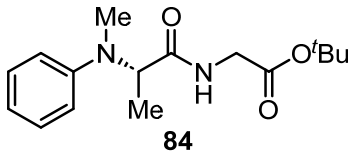
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.65 – 7.62 (m, 2H), 7.57 – 7.55 (m, 2H), 7.34 – 7.29 (m, 2H), 6.93 – 6.87 (m, 3H), 4.27 (dd, *J* = 9.6, 5.0 Hz, 1H), 2.91 (s, 3H), 2.36 – 2.25 (m, 1H), 1.91 – 1.79 (m, 1H), 0.90 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 149.8, 140.6, 129.5, 126.2 (q, *J* = 4.1 Hz), 126.0 (q, *J* = 32.7 Hz), 124.0 (q, *J* = 271.0 Hz), 119.29, 119.27, 114.4, 67.3, 34.1, 21.2, 11.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.10.

HRMS (ESI) m/z calcd. for $C_{18}H_{20}F_3N_2O$ $[M+H]^+$ 337.1522, found 337.1522.

***tert*-Butyl *N*-methyl-*N*-phenyl-*L*-alanylglycinate (84)**



84

According to **General procedure A** with *tert*-butyl (2-bromopropanoyl)glycinate **E15** (79.8 mg, 0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (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 **84** as a colorless oil (47.4 mg, 81% yield, 96% ee).

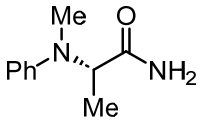
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.44 min, t_R (major) = 29.91 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.24 (m, 2H), 7.11 (s, 1H), 6.87 – 6.82 (m, 3H), 4.38 (q, *J* = 7.0 Hz, 1H), 4.07 (dd, *J* = 18.2, 6.3 Hz, 1H), 3.85 (dd, *J* = 18.1, 5.0 Hz, 1H), 2.84 (s, 3H), 1.46 (s, 9H), 1.38 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 168.8, 149.6, 129.2, 118.8, 114.7, 82.0, 60.2, 41.8, 33.8, 28.0, 12.0.

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

(*S*)-2-(Methyl(phenyl)amino)propanamide (85)



85

According to **General Procedure A** with 2-bromopropanamide **E16** (45.6 mg, 0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (CH_2Cl_2/CH_3OH = 30/1) to yield the product **85** as a white solid (20.5 mg, 58% yield, 93% ee).

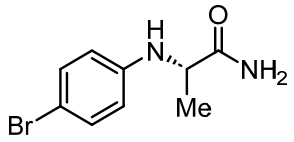
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 214 nm), t_R (minor) = 14.25 min, t_R (major) = 18.14 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.25 (m, 2H), 6.86 – 6.82 (m, 3H), 6.51 (s, 1H), 5.80 (s, 1H), 4.36 (q, *J* = 7.0 Hz, 1H), 2.83 (s, 3H), 1.38 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 176.1, 149.3, 129.3, 118.8, 114.4, 59.9, 33.9, 12.0.

HRMS (ESI) m/z calcd. for $C_{10}H_{15}N_2O$ $[M + H]^+$ 179.1179, found 179.1178.

(*S*)-2-((4-Bromophenyl)amino)propanamide (86)



86

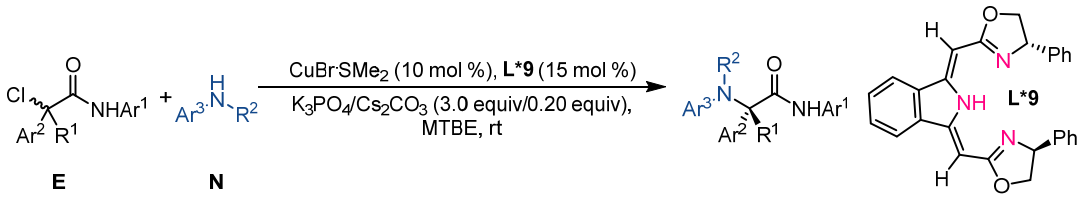
According to **General Procedure A** with 2-bromopropanamide **E16** (30.2 mg, 0.20 mmol, 1.0 equiv) and 4-bromoaniline **N50** (51.3 mg, 0.30 mmol, 1.5 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (CH_2Cl_2/CH_3OH = 25/1) to yield the product **86** as a white solid (31.9 mg, 66% yield, 95% ee).

HPLC analysis: Chiralcel OD3 (*n*-hexane/*i*-PrOH = 80/20, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 9.53 min, t_R (minor) = 11.83 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.26 (m, 2H), 6.56 (s, 1H), 6.51 – 6.47 (m, 2H), 5.93 (s, 1H), 4.06 (s, 1H), 3.74 (q, *J* = 7.1, 2.7 Hz, 1H), 1.52 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 176.9, 145.4, 132.1, 115.1, 110.8, 54.7, 19.5.

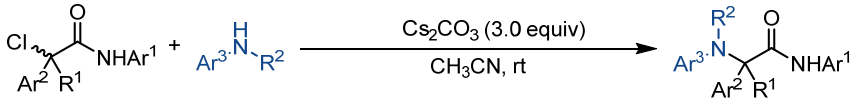
HRMS (ESI) m/z calcd. for $C_9H_{12}BrN_2O$ $[M + H]^+$ 243.0128, found 243.0127.



E N

General procedure D:

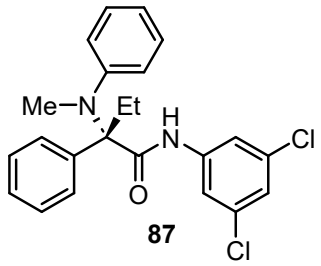
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuBr·SMe_2 (3.8 mg, 0.02 mmol, 10 mol %), **L*9** (13.0 mg, 0.03 mmol, 15 mol %), K_3PO_4 (127.1 mg, 0.60 mmol, 3.0 equiv), Cs_2CO_3 (13.0 mg, 0.04 mmol, 0.2 equiv), and anhydrous MTBE (1.0 mL). Then, the mixture was stirred at room temperature for 3 h. After that, racemic tertiary alkyl chloride (0.20 mmol, 1.0 equiv), 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 4d. 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.



E N

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*)-*N*-(3,5-Dichlorophenyl)-2-(methyl(phenyl)amino)-2-phenylbutanamide (87)



87

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.5 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 = 15/1) to yield the product **87** as a yellowish oil (65.3 mg, 79% yield, 88% ee).

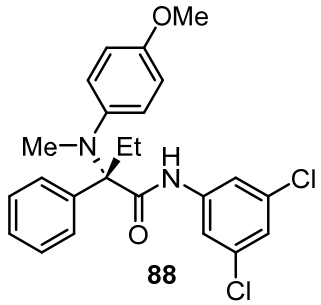
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 11.92 min, t_R (minor) = 14.21 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.58 (s, 1H), 7.58 – 7.57 (m, 2H), 7.38 – 7.31 (m, 3H), 7.29 – 7.27 (m, 2H), 7.25 – 7.22 (m, 2H), 7.13 – 7.09 (m, 2H), 6.89 – 6.86 (m, 2H), 2.71 (s, 3H), 2.12 – 1.98 (m, 2H), 0.86 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 147.8, 139.8, 135.3, 135.0, 129.5, 128.5, 127.5, 127.4, 125.8, 124.6, 124.0, 117.8, 74.5, 40.1, 32.3, 9.7.

HRMS (ESI) m/z calcd. for $C_{23}H_{23}Cl_2N_2O$ $[M + H]^+$ 413.1182, found 413.1182.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-((4-methoxyphenyl)(methyl)amino)-2-phenylbutanamide (88)



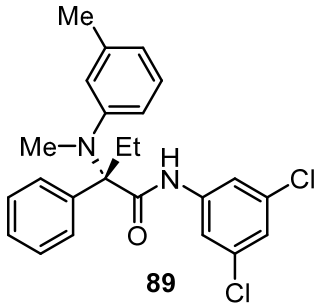
88

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and 4-methoxy-*N*-methylaniline **N13** (27.4 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 = 15/1) to yield the product **88** as a yellowish oil (55.9 mg, 63% yield, 85% ee).

HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 15.04 min, t_R (minor) = 19.36 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.90 (s, 1H), 7.67 – 7.64 (m, 2H), 7.39 – 7.31 (m, 3H), 7.23 – 7.21 (m, 2H), 7.13 – 7.12 (m, 1H), 6.83 – 6.76 (m, 4H), 3.80 (s, 3H), 2.53 (s, 3H), 2.01 – 1.83 (m, 2H), 0.82 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 157.5, 140.3, 140.0, 135.3, 133.8, 129.9, 128.8, 127.5, 127.4, 123.9, 117.7, 113.5, 74.9, 55.4, 41.0, 32.0, 9.5.
HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O_2$ $[M + H]^+$ 443.1288, found 443.1287.

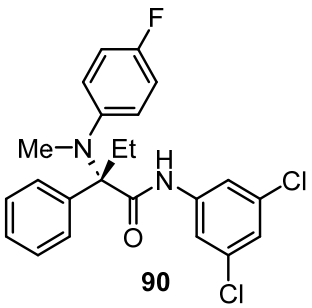
(*S*)-*N*-(3,5-Dichlorophenyl)-2-(methyl(*m*-tolyl)amino)-2-phenylbutanamide (89)



89

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and *N*,3-dimethylaniline **N71** (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 = 15/1) to yield the product **89** as a yellowish oil (64.1 mg, 75% yield, 90% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 10.52 min, t_R (minor) = 13.30 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.65 (s, 1H), 7.60 – 7.58 (m, 2H), 7.38 – 7.31 (m, 3H), 7.30 – 7.25 (m, 2H), 7.13 – 7.09 (m, 2H), 6.96 – 6.93 (m, 1H), 6.71 – 6.69 (m, 1H), 6.67 – 6.65 (m, 1H), 2.66 (s, 3H), 2.29 (s, 3H), 2.10 – 1.95 (m, 2H), 0.85 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 147.7, 139.9, 138.2, 135.3, 134.9, 129.6, 128.2, 127.4, 127.4, 126.9, 125.6, 123.9, 123.1, 117.8, 74.6, 40.3, 32.2, 21.4, 9.6.
HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O$ $[M + H]^+$ 427.1338, found 427.1337.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-((4-fluorophenyl)(methyl)amino)-2-phenylbutanamide (90)



90

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-

phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and 4-fluoro-*N*-methylaniline **N15** (25.0 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 = 15/1) to yield the product **90** as a yellowish oil (50.0 mg, 58% yield, 88% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 11.87 min, t_R (minor) = 15.16 min.

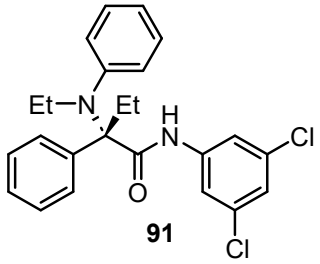
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.69 (s, 1H), 7.63 – 7.62 (m, 2H), 7.39 – 7.32 (m, 3H), 7.23 – 7.20 (m, 2H), 7.13 – 7.12 (m, 1H), 6.97 – 6.91 (m, 2H), 6.87 – 6.81 (m, 2H), 2.59 (s, 3H), 2.03 – 1.87 (m, 2H), 0.84 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 160.4 (d, *J* = 243.7 Hz), 143.5 (d, *J* = 2.7 Hz), 139.8, 135.3, 133.8, 129.8, 128.7 (d, *J* = 8.2 Hz), 127.6, 127.5, 124.0, 117.8, 115.1 (d, *J* = 22.0 Hz), 74.8, 40.8, 32.0, 9.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ −116.53.

HRMS (ESI) m/z calcd. for $C_{23}H_{22}Cl_2FN_2O$ $[M + H]^+$ 431.1088, found 431.1088.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-(ethyl(phenyl)amino)-2-phenylbutanamide (91)



91

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and *N*-ethylaniline **N2** (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 = 15/1) to yield the product **91** as a yellowish oil (52.1 mg, 61% yield, 87% ee).

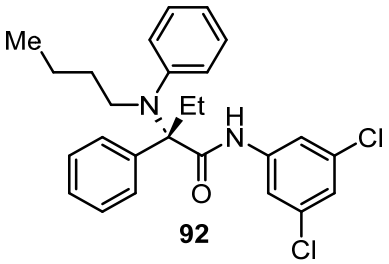
HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 7.54 min, t_R (minor) = 8.39 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.09 (s, 1H), 7.67 – 7.64 (m, 2H), 7.39 – 7.33 (m, 3H), 7.32 – 7.27 (m, 2H), 7.26 – 7.22 (m, 3H), 7.13 – 7.12 (m, 1H), 6.92 – 6.89 (m, 2H), 2.99 – 2.90 (m, 1H), 2.64 – 2.56 (m, 1H), 1.93 – 1.79 (m, *J* = 7.2 Hz, 2H), 0.86 (t, *J* = 7.0 Hz, 3H), 0.79 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 144.3, 140.1, 135.4, 134.5, 129.9, 129.7, 128.4, 127.5, 127.4, 126.5, 123.8, 117.5, 75.4, 47.1, 31.7, 14.4, 9.4.

HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O$ $[M + H]^+$ 427.1338, found 427.1338.

(*S*)-2-(Butyl(phenyl)amino)-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide (92)



92

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and *N*-butylaniline **N72** (29.8 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 = 15/1) to yield the product **92** as a yellowish oil (47.3 mg, 52% yield, 87% ee).

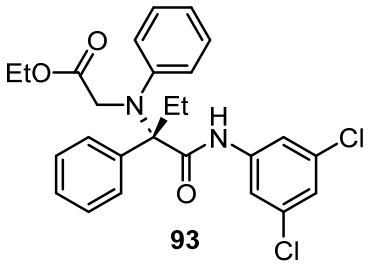
HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.4 mL/min, λ = 254 nm), t_R (major) = 10.21 min, t_R (minor) = 12.66 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.06 (s, 1H), 7.67 – 7.65 (m, 2H), 7.39 – 7.33 (m, 3H), 7.32 – 7.22 (m, 5H), 7.13 – 7.12 (m, 1H), 6.90 – 6.88 (m, 2H), 2.87 – 2.80 (m, 1H), 2.61 – 2.55 (m, 1H), 1.92 – 1.78 (m, *J* = 7.2 Hz, 2H), 1.40 – 1.31 (m, 1H), 1.23 – 1.08 (m, 3H), 0.80 – 0.75 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 144.5, 140.1, 135.4, 134.2, 130.0, 129.5, 128.4, 127.5, 127.3, 126.5, 123.8, 117.4, 75.4, 52.7, 31.8, 30.8, 20.5, 14.0, 9.4.

HRMS (ESI) m/z calcd. for $C_{26}H_{29}Cl_2N_2O$ $[M + H]^+$ 455.1651, found 455.1651.

Ethyl (*S*)-*N*-(1-((3,5-dichlorophenyl)amino)-1-oxo-2-phenylbutan-2-yl)-*N*-phenylglycinate (93)



93

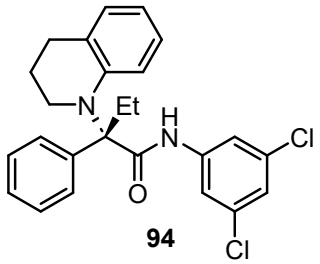
According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and ethyl phenylglycinate **N12** (35.8 mg, 0.20 mmol, 1.0 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 15/1) to yield the product **93** as a yellowish oil (49.5 mg, 51% yield, 91% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (minor) = 11.26 min, t_R (major) = 12.24 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.84 (s, 1H), 7.63 – 7.60 (m, 4H), 7.36 – 7.32 (m, 2H), 7.29 – 7.26 (m, 1H), 7.13 – 7.09 (m, 2H), 7.02 – 7.01 (m, 1H), 6.84 – 6.81 (m, 1H), 6.64 –

6.61 (m, 2H), 4.46 – 4.21 (m, 4H), 2.23 – 2.14 (m, 1H), 2.05 – 1.96 (m, 1H), 1.36 (t, J = 7.1 Hz, 3H), 0.94 (t, J = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 174.5, 172.3, 145.7, 140.7, 139.4, 134.9, 128.9, 128.1, 127.2, 123.5, 120.9, 118.2, 117.9, 72.6, 62.4, 51.1, 34.5, 14.2, 9.7.
HRMS (ESI) m/z calcd. for $C_{26}H_{27}Cl_2N_2O_3$ $[M + H]^+$ 485.1393, found 485.1394.

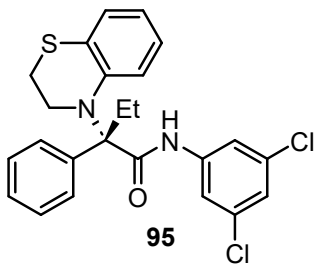
(*S*)-*N*-(3,5-Dichlorophenyl)-2-(3,4-dihydroquinolin-1(2*H*)-yl)-2-phenylbutanamide (94)



94

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and 1,2,3,4-tetrahydroquinoline **N25** (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 = 15/1) to yield the product **94** as a yellowish oil (62.4 mg, 71% yield, 90% ee).
HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (minor) = 11.24 min, t_R (major) = 13.32 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (s, 1H), 7.61 – 7.58 (m, 2H), 7.32 – 7.27 (m, 2H), 7.25 – 7.24 (m, 1H), 7.23 – 7.21 (m, 2H), 7.07 – 7.05 (m, 1H), 7.03 – 7.01 (m, 1H), 6.77 – 6.73 (m, 1H), 6.68 – 6.64 (m, 1H), 6.28 – 6.25 (m, 1H), 3.62 – 3.52 (m, J = 5.6 Hz, 2H), 3.03 – 2.86 (m, 2H), 2.52 – 2.36 (m, J = 7.3 Hz, 2H), 2.18 – 2.12 (m, 2H), 1.03 (t, J = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 143.8, 139.24, 139.18, 135.0, 129.2, 128.4, 128.0, 127.1, 126.0, 124.2, 118.8, 118.4, 117.1, 72.5, 45.8, 33.0, 28.3, 24.4, 10.2.
HRMS (ESI) m/z calcd. for $C_{25}H_{25}Cl_2N_2O$ $[M + H]^+$ 439.1338, found 439.1339.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-(2,3-dihydro-4*H*-benzo[*b*][1,4]thiazin-4-yl)-2-phenylbutanamide (95)

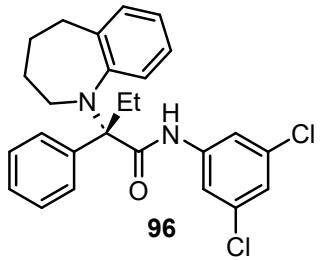


95

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and 3,4-dihydro-2*H*-

benzo[*b*][1,4]thiazine **N27** (30.2 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 = 15/1) to yield the product **95** as a yellowish oil (59.5 mg, 65% yield, 87% ee).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (minor) = 16.89 min, t_R (major) = 20.72 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 7.54 – 7.51 (m, 2H), 7.37 – 7.27 (m, 4H), 7.27 – 7.26 (m, 2H), 7.03 – 7.02 (m, 1H), 6.83 – 6.77 (m, 2H), 6.57 – 6.52 (m, 1H), 3.70 – 3.55 (m, 2H), 3.39 – 3.26 (m, 2H), 2.67 – 2.51 (m, 2H), 1.11 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 145.3, 139.3, 138.9, 135.1, 128.4, 128.4, 128.0, 127.6, 126.5, 125.4, 124.2, 121.3, 120.2, 118.0, 74.0, 47.2, 32.6, 31.0, 10.1.
HRMS (ESI) m/z calcd. for $C_{24}H_{23}Cl_2N_2OS$ $[M + H]^+$ 457.0903, found 457.0902.

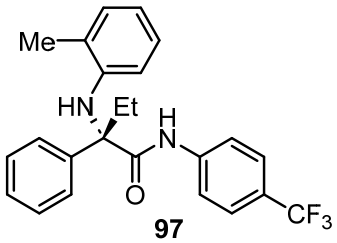
(*S*)-*N*-(3,5-Dichlorophenyl)-2-phenyl-2-(2,3,4,5-tetrahydro-1*H*-benzo[*b*]azepin-1-yl)butanamide (96)



96

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylbutanamide **E17** (82.2 mg, 0.24 mmol, 1.2 equiv) and 2,3,4,5-tetrahydro-1*H*-benzo[*b*]azepine **N28** (29.4 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 = 15/1) to yield the product **96** as a yellowish oil (49.9 mg, 55% yield, 90% ee).
HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 12.47 min, t_R (minor) = 15.46 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.51 – 7.48 (m, 2H), 7.41 – 7.39 (m, 2H), 7.37 – 7.33 (m, 2H), 7.31 – 7.26 (m, 1H), 7.21 – 7.17 (m, 1H), 7.08 – 7.07 (m, 1H), 6.97 – 6.89 (m, 3H), 3.37 – 3.23 (m, 2H), 3.01 – 2.93 (m, 2H), 2.33 (q, *J* = 7.4 Hz, 2H), 1.85 – 1.60 (m, 4H), 0.95 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 147.7, 139.5, 139.4, 137.7, 135.3, 130.4, 129.0, 127.8, 127.4, 126.4, 124.2, 123.5, 123.3, 117.8, 75.7, 52.3, 35.1, 33.5, 29.1, 25.3, 10.1.
HRMS (ESI) m/z calcd. for $C_{26}H_{27}Cl_2N_2O$ $[M + H]^+$ 453.1495, found 453.1496.

(*S*)-2-Phenyl-2-(o-tolylamino)-*N*-(4-(trifluoromethyl)phenyl)butanamide (97)



97

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and *o*-toluidine **N29** (25.7 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **97** as a yellowish oil (44.4 mg, 54% yield, 92% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 11.02 min, t_R (major) = 11.80 min.

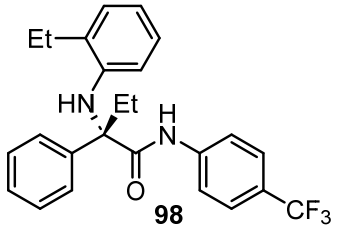
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.69 (d, *J* = 7.8 Hz, 2H), 7.50 (s, 4H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.92 (t, *J* = 7.8 Hz, 1H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.36 (d, *J* = 8.1 Hz, 1H), 4.97 (s, 1H), 2.67 (dq, *J* = 14.8, 7.4 Hz, 1H), 2.47 (dq, *J* = 14.6, 7.3 Hz, 1H), 2.38 (s, 3H), 0.67 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 141.8, 140.7, 140.6, 130.7, 129.3, 128.1, 126.8, 126.13 (q, *J* = 32.7 Hz), 126.12 (q, *J* = 3.8 Hz), 125.8, 124.0 (q, *J* = 269.8 Hz), 123.6, 119.4, 118.7, 113.8, 67.3, 25.5, 17.8, 7.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.15.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_3N_2O$ $[M + H]^+$ 413.1835, found 413.1832

(*S*)-2-((2-Ethylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (98)



98

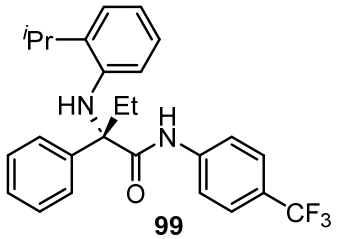
According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2-ethylaniline **N73** (29.0 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **98** as a yellowish oil (53.7 mg, 63% yield, 90% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 9.13 min, t_R (major) = 11.26 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.69 (d, *J* = 7.6 Hz, 2H), 7.49 (s, 4H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.36 – 7.32 (m, 1H), 7.15 (d, *J* = 7.2 Hz, 1H), 6.95 – 6.84 (m, 1H), 6.74

(t, *J* = 7.3 Hz, 1H), 6.34 (d, *J* = 8.0 Hz, 1H), 5.15 (s, 1H), 2.76 – 2.64 (m, 3H), 2.48 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.41 (t, *J* = 7.5 Hz, 3H), 0.70 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 141.2, 140.8, 140.5, 129.3, 129.1, 128.5, 128.1, 126.5, 126.14 (q, *J* = 32.5 Hz), 126.08 (q, *J* = 3.8 Hz), 125.9, 124.0 (q, *J* = 269.9 Hz), 119.5, 118.7, 113.8, 67.2, 25.8, 24.3, 13.1, 7.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.14.
HRMS (ESI) m/z calcd. for $C_{25}H_{26}F_3N_2O$ $[M + H]^+$ 427.1992, found 427.1990.

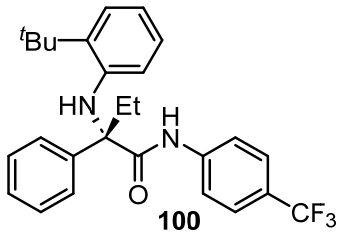
(*S*)-2-((2-Isopropylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butan amide (99)



99

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2-isopropylaniline **N30** (32.4 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **99** as a colorless oil (48.5 mg, 55% yield, 83% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 8.08 min, t_R (major) = 11.23 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.69 (d, *J* = 7.8 Hz, 2H), 7.49 (s, 4H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.3 Hz, 1H), 7.22 (d, *J* = 8.2 Hz, 1H), 6.88 (t, *J* = 7.6 Hz, 1H), 6.76 (t, *J* = 7.4 Hz, 1H), 6.33 (d, *J* = 8.1 Hz, 1H), 5.31 (s, 1H), 3.13 (hept, *J* = 6.8 Hz, 1H), 2.70 (dq, *J* = 14.7, 7.4 Hz, 1H), 2.48 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.41 (dd, *J* = 12.1, 6.7 Hz, 6H), 0.72 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 140.9, 140.5, 140.4, 133.6, 129.4, 128.1, 126.2, 126.17 (q, *J* = 32.6 Hz), 126.12 (q, *J* = 3.7 Hz), 126.0, 125.6, 124.0 (q, *J* = 269.9 Hz), 119.5, 118.8, 114.1, 67.3, 27.9, 26.0, 22.7, 22.5, 7.8.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.15.
HRMS (ESI) m/z calcd. for $C_{26}H_{28}F_3N_2O$ $[M + H]^+$ 441.2148, found 441.2145.

(S)-2-((2-(*tert*-butyl)phenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (100)



100

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2-(*tert*-butyl)aniline **N39** (35.8 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **100** as a colorless oil (45.5 mg, 50% yield, 90% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.29 min, t_R (minor) = 8.05 min.

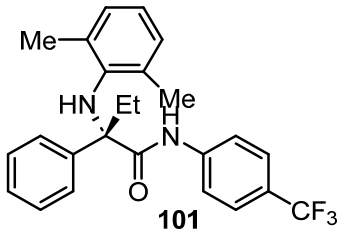
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (s, 1H), 7.69 (d, *J* = 7.5 Hz, 2H), 7.52 – 7.41 (m, 6H), 7.35 – 7.29 (m, 2H), 6.81 (t, *J* = 7.3 Hz, 1H), 6.64 (t, *J* = 7.2 Hz, 1H), 6.17 (d, *J* = 8.1 Hz, 1H), 5.73 (s, 1H), 2.77 (dq, *J* = 14.7, 7.4 Hz, 1H), 2.48 (dq, *J* = 14.5, 7.2 Hz, 1H), 1.62 (s, 9H), 0.86 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 141.6, 141.1, 140.4, 134.0, 129.5, 128.1, 126.7, 126.41, 126.39, 126.3 (q, *J* = 32.6 Hz), 126.2 (q, *J* = 3.7 Hz) 123.9 (q, *J* = 269.9 Hz), 119.5, 117.5, 114.1, 67.7, 34.3, 30.0, 29.7, 8.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.20.

HRMS (ESI) m/z calcd. for $C_{27}H_{30}F_3N_2O$ $[M + H]^+$ 455.2305, found 455.2304.

(*S*)-2-((2,6-Dimethylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide （101）



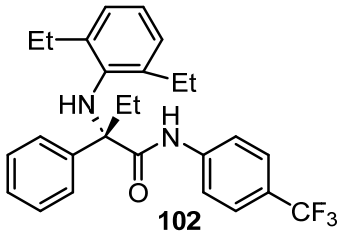
101

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2,6-dimethylaniline **N40** (29.1 mg, 0.24 mmol, 1.2 equiv) for 164 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **101** as a yellowish oil (55.9 mg, 66% yield, 90% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 12.29 min, t_R (major) = 13.12 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.43 (s, 1H), 7.67 (d, *J* = 8.4 Hz, 2H), 7.56 (d, *J* = 8.4 Hz, 2H), 7.46 (d, *J* = 7.5 Hz, 2H), 7.29 – 7.22 (m, 3H), 6.90 (d, *J* = 7.4 Hz, 2H), 6.82 – 6.78 (m, 1H), 4.71 (s, 1H), 2.45 (dq, *J* = 14.6, 7.4 Hz, 1H), 2.28 (dq, *J* = 14.5, 7.4 Hz, 1H), 2.17 (s, 6H), 0.81 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.7, 142.5, 141.1, 140.6, 130.8, 129.3, 128.3, 127.7, 126.9, 126.3 (q, *J* = 3.8 Hz), 126.0 (q, *J* = 32.5 Hz), 124.1 (q, *J* = 269.9 Hz), 122.8, 119.0, 70.1, 30.0, 20.4, 8.6.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.08.
HRMS (ESI) m/z calcd. for $C_{25}H_{26}F_3N_2O$ $[M + H]^+$ 427.1992, found 427.1990.

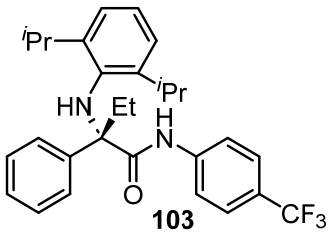
(*S*)-2-((2,6-Diethylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (102)



102

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2,6-diethylaniline **N41** (35.8 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **102** as a colorless oil (66.4 mg, 73% yield, 90% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.06 min, t_R (minor) = 9.18 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.76 (s, 1H), 7.73 (d, *J* = 8.5 Hz, 2H), 7.59 (d, *J* = 8.4 Hz, 2H), 7.33 – 7.29 (m, 2H), 7.25 – 7.16 (m, 3H), 6.91 (s, 3H), 4.58 (s, 1H), 2.57 – 2.29 (m, 6H), 1.13 (t, *J* = 7.5 Hz, 6H), 0.90 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 173.5, 140.7, 140.6, 140.5, 138.0, 128.0, 127.5, 127.4, 126.6, 126.3 (q, *J* = 3.8 Hz), 126.0 (q, *J* = 32.6 Hz), 124.1 (q, *J* = 269.9 Hz), 123.8, 119.1, 71.0, 30.7, 25.9, 15.0, 8.8.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.08.
HRMS (ESI) m/z calcd. for $C_{27}H_{30}F_3N_2O$ $[M + H]^+$ 455.2305, found 455.2303.

(*S*)-2-((2,6-Diisopropylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (103)



103

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2,6-diisopropylaniline **N42** (42.6 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **103** as a colorless oil (70.2 mg, 70% yield, 90% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.18 min, t_R (minor) = 8.29 min.

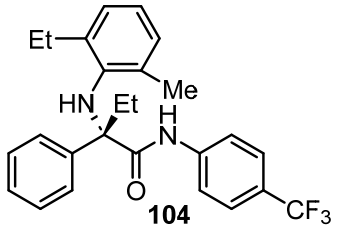
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 7.82 (d, *J* = 8.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.18 – 7.13 (m, 5H), 7.02 – 6.94 (m, 3H), 4.31 (s, 1H), 3.02 (hept, *J* = 6.8 Hz, 2H), 2. 45 – 2.27 (m, 2H), 1.19 (d, *J* = 6.8 Hz, 6H), 1.03 (t, *J* = 7.4 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 143.4, 140.80, 140.78, 139.7, 138.6, 127.9, 127.8, 127.3, 126.4 (q, *J* = 3.8 Hz), 126.0 (q, *J* = 32.5 Hz), 124.7, 124.1 (q, *J* = 269.9 Hz), 123.2, 119.0, 71.9, 32.4, 28.8, 23.9, 23.4, 9.0.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.07.

HRMS (ESI) m/z calcd. for $C_{29}H_{34}F_3N_2O$ $[M + H]^+$ 483.2618, found 483.2615.

(*S*)-2-((2-Ethyl-6-methylphenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (104)



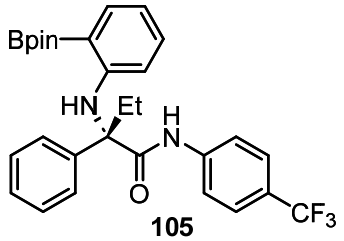
104

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2-ethyl-6-methylaniline **N34** (32.4 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **104** as a colorless oil (55.7 mg, 63% yield, 88% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/5, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 8.57 min, t_R (minor) = 10.09 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.58 (s, 1H), 7.69 (d, *J* = 8.5 Hz, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.43 – 7.36 (m, 2H), 7.27 – 7.19 (m, 3H), 6.94 – 6.83 (m, 3H), 4.67 (s, 1H), 2.60 – 2.26 (m, 4H), 2.13 (s, 3H), 1.15 (t, *J* = 7.5 Hz, 3H), 0.86 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100MHz, $CDCl_3$) δ 173.6, 141.6, 140.9, 140.7, 137.4, 131.4, 129.1, 128.2, 127.6, 127.2, 126.9, 124.1 (q, *J* = 269.8 Hz), 126.3 (q, *J* = 3.8 Hz), 126.0 (q, *J* = 32.5 Hz) 123.3, 119.1, 70.5, 30.3, 25.8, 20.6, 14.9, 8.7.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.08.
HRMS (ESI) m/z calcd. for $C_{26}H_{28}F_3N_2O$ $[M + H]^+$ 441.2148, found 441.2145.

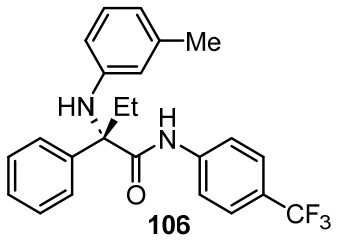
(*S*)-2-Phenyl-2-((2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)amino)-*N*-(4-(trifluoromethyl)phenyl)butanamide (105)



105

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 2-Aminophenylboronic acid pinacol ester **N74** (52.6 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **105** as a brown oil (45.1 mg, 43% yield, 82% ee).
HPLC analysis: Chiralcel IB (n-hexane/i-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 5.18 min, t_R (minor) = 5.92 min.
^{1}H NMR (400 MHz, CDCl3) δ 8.90 (s, 1H), 7.78 – 7.73 (m, 3H), 7.56 (d, *J* = 8.6 Hz, 2H), 7.49 (d, *J* = 8.6 Hz, 2H), 7.42 – 7.38 (m, 2H), 7.33 – 7.28 (m, 1H), 7.22 – 7.17 (m, 2H), 6.81 – 6.77 (m, 1H), 6.52 (d, *J* = 8.3 Hz, 1H), 2.58 – 2.45 (m, 2H), 1.44 (s, 6H), 1.38 (s, 6H), 0.57 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, CDCl3) δ 172.9, 150.9, 140.9, 140.2, 137.4, 132.7, 128.6, 127.6, 126.0 (q, *J* = 3.7 Hz), 125.8 (q, *J* = 32.6 Hz), 125.7, 124.1 (q, *J* = 269.7 Hz), 119.4, 118.5, 114.5, 84.1, 68.0, 26.3, 25.2, 24.7, 7.3.
^{19}F NMR (376 MHz, CDCl3) δ –62.11.
HRMS (ESI) m/z calcd. for $C_{29}H_{33}BF_3N_2O_3$ $[M + H]^+$ 525.2531, found 525.2530.

(*S*)-2-Phenyl-2-(*m*-tolylamino)-*N*-(4-(trifluoromethyl)phenyl)butanamide (106)



106

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and *m*-toluidine **N75** (25.7 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **106** as a colorless oil (44.0 mg, 53% yield, 88% ee).

HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 9.39 min, t_R (minor) = 10.31 min.

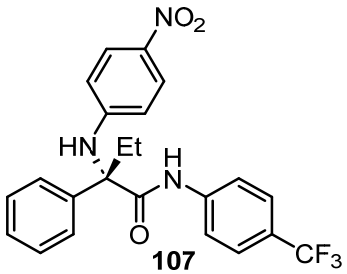
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.70 – 7.66 (m, 2H), 7.52 – 7.47 (m, 4H), 7.43 – 7.39 (m, 2H), 7.34 – 7.29 (m, 1H), 7.01 (t, *J* = 7.8 Hz, 1H), 6.62 (d, *J* = 7.3 Hz, 1H), 6.51 (s, 1H), 6.41 (dd, *J* = 8.1, 2.4 Hz, 1H), 4.81 (s, 1H), 2.64 (dq, *J* = 14.8, 7.4 Hz, 1H), 2.46 (dq, *J* = 14.6, 7.3 Hz, 1H), 2.22 (s, 3H), 0.72 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 143.9, 140.6, 140.4, 139.1, 129.1, 129.0, 128.0, 126.1 (q, *J* = 3.8 Hz), 126.0 (q, *J* = 32.6 Hz), 125.9, 124.0 (q, *J* = 269.9 Hz), 120.5, 119.4, 117.2, 113.1, 67.5, 25.7, 21.5, 7.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ –62.11.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_3N_2O$ $[M + H]^+$ 413.1835, found 413.1830.

(*S*)-2-((4-Nitrophenyl)amino)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide (107)

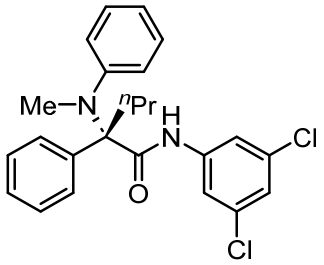


107

According to **General procedure D** with 2-chloro-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)butanamide **E18** (68.2 mg, 0.20 mmol, 1.0 equiv) and 4-nitroaniline **N76** (33.1 mg, 0.24 mmol, 1.2 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 20/1) to yield the product **107** as a yellow oil (38.0 mg, 43% yield, 88% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 7.50 min, t_R (minor) = 12.93 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, *J* = 8.8 Hz, 2H), 7.63 (d, *J* = 7.7 Hz, 2H), 7.54 (d, *J* = 8.5 Hz, 2H), 7.51 – 7.40 (m, 5H), 7.23 (s, 1H), 6.56 (s, 1H), 6.40 (d, *J* = 8.9 Hz, 2H), 2.74 (dq, *J* = 14.7, 7.4 Hz, 1H), 2.48 (dq, *J* = 14.3, 7.1 Hz, 1H), 0.93 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.6, 149.7, 139.9, 139.7, 138.5, 130.1, 129.1, 126.8 (q, *J* = 32.5 Hz), 126.31 (q, *J* = 3.6 Hz), 126.28, 126.0, 123.8 (q, *J* = 270.0 Hz), 119.6, 113.4, 66.7, 26.4, 7.9.
^{19}F NMR (376 MHz, $CDCl_3$) δ –62.30.
HRMS (ESI) m/z calcd. for $C_{23}H_{21}F_3N_3O_3$ $[M + H]^+$ 444.1530, found 444.1524.

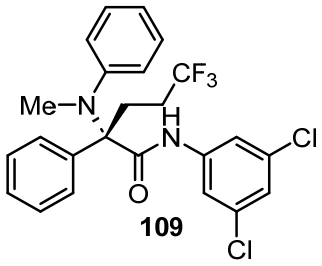
(*S*)-*N*-(3,5-Dichlorophenyl)-2-(methyl(phenyl)amino)-2-phenylpentanamide (108)



108

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-phenylpentanamide **E19** (85.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **108** as a yellowish oil (56.4 mg, 66% yield, 90% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.7 mL/min, λ = 254 nm), t_R (major) = 8.14 min, t_R (minor) = 10.38 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.54 (s, 1H), 7.58 – 7.55 (m, 2H), 7.37 – 7.27 (m, 5H), 7.25 – 7.22 (m, 2H), 7.13 – 7.09 (m, 2H), 6.89 – 6.86 (m, 2H), 2.72 (s, 3H), 2.04 – 1.90 (m, 2H), 1.42 – 1.31 (m, 1H), 1.24 – 1.15 (m, 1H), 0.79 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 147.8, 139.8, 135.6, 135.3, 129.4, 128.5, 127.6, 127.4, 125.5, 124.5, 124.0, 117.8, 74.1, 41.5, 40.0, 18.3, 14.3.
HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O$ $[M + H]^+$ 427.1338, found 427.1337.

(*S*)-*N*-(3,5-Dichlorophenyl)-5,5,5-trifluoro-2-(methyl(phenyl)amino)-2-phenylpentanamide (109)



109

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-5,5,5-trifluoro-2-phenylpentanamide **E20** (98.5 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **109** as a yellowish oil (56.8 mg, 59% yield, 88% ee).

HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 6.95 min, t_R (minor) = 13.48 min.

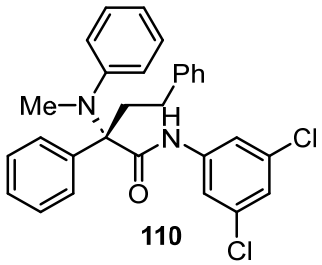
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.78 (s, 1H), 7.63 – 7.61 (m, 2H), 7.41 – 7.33 (m, 3H), 7.30 – 7.25 (m, 2H), 7.22 – 7.15 (m, 4H), 6.92 – 6.89 (m, 2H), 2.65 (s, 3H), 2.33 – 2.13 (m, 3H), 2.01 – 1.86 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 146.9, 139.4, 135.5, 133.9, 129.2, 128.8, 128.1, 128.0, 126.8 (q, J = 274.5 Hz), 126.6, 125.7, 124.5, 118.0, 73.0, 40.2, 30.6 (q, J = 2.7 Hz), 30.3 (q, J = 28.7 Hz).

^{19}F NMR (376 MHz, $CDCl_3$) δ –66.62.

HRMS (ESI) m/z calcd. $C_{24}H_{22}Cl_2F_3N_2O$ for $[M + H]^+$ 481.1056, found 481.1056.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-(methyl(phenyl)amino)-2,4-diphenylbutanamide (110)



110

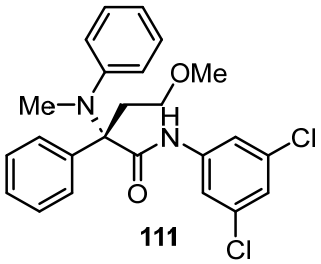
According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2,4-diphenylbutanamide **E21** (100.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 96 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 15/1) to yield the product **110** as a yellowish oil (59.7 mg, 61% yield, 86% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 17.43 min, t_R (minor) = 22.84 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.68 (s, 1H), 7.62 – 7.59 (m, 2H), 7.40 – 7.32 (m, 5H), 7.23 – 7.16 (m, 4H), 7.13 – 7.09 (m, 3H), 7.00 – 6.97 (m, 2H), 6.93 – 6.89 (m, 2H), 2.73 (s, 3H), 2.70 – 2.65 (m, 1H), 2.52 – 2.44 (m, 1H), 2.37 – 2.25 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 147.6, 141.4, 139.7, 135.3, 135.3, 129.4, 128.6, 128.4, 128.2, 127.7, 127.7, 126.0, 125.9, 125.0, 124.2, 117.9, 74.0, 40.6, 40.4, 31.2.
HRMS (ESI) m/z calcd. $C_{29}H_{27}Cl_2N_2O$ for $[M + H]^+$ 489.1495, found 489.1493.

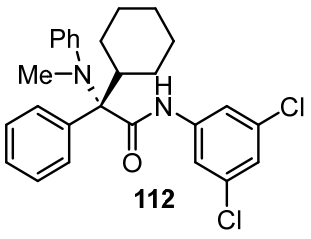
(*S*)-*N*-(3,5-Dichlorophenyl)-4-methoxy-2-(methyl(phenyl)amino)-2-phenylbutanamide (111)



111

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-4-methoxy-2-phenylbutanamide **E22** (89.5 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **111** as a yellowish oil (40.2 mg, 54% yield, 88% ee).
HPLC analysis: Chiralcel IF (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (minor) = 20.03 min, t_R (major) = 24.86 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.86 (s, 1H), 7.61 (d, *J* = 1.8 Hz, 2H), 7.39 – 7.34 (m, 3H), 7.29 – 7.22 (m, 4H), 7.15 – 7.11 (m, 2H), 6.92 – 6.89 (m, 2H), 3.34 – 3.22 (m, 2H), 3.16 (s, 3H), 2.66 (s, 3H), 2.39 – 2.32 (m, 1H), 2.27 – 2.19 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 147.6, 139.8, 135.4, 135.1, 129.2, 128.6, 127.79, 127.77, 126.5, 125.1, 124.2, 117.9, 72.7, 69.2, 58.6, 40.3, 38.6.
HRMS (ESI) m/z calcd. $C_{24}H_{25}Cl_2N_2O_2$ for $[M + H]^+$ 443.1288, found 443.1287.

(*S*)-2-Cyclohexyl-*N*-(3,5-dichlorophenyl)-2-(methyl(phenyl)amino)-2-phenylacetamide (112)

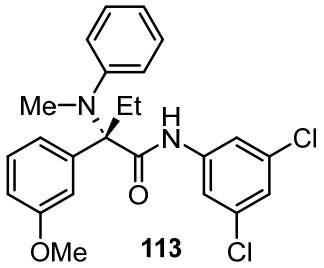


112

According to **General procedure D** with 2-chloro-2-cyclohexyl-*N*-(3,5-dichlorophenyl)-2-phenylacetamide **E23** (95.2 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **112** as a yellowish oil (64.5 mg, 69% yield, 95% ee).
HPLC analysis: Chiralcel IB (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.5 mL/min, λ = 254 nm),

t_R (minor) = 10.38 min, t_R (major) = 11.38 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.36 (s, 1H), 7.61 – 7.58 (m, 2H), 7.34 – 7.31 (m, 4H), 7.30 – 7.27 (m, 1H), 7.22 – 7.19 (m, 2H), 7.12 – 7.08 (m, 2H), 7.02 – 6.99 (m, 2H), 2.72 (s, 3H), 2.30 – 2.27 (m, 1H), 2.02 – 1.97 (m, 1H), 1.76 – 1.72 (m, 1H), 1.64 – 1.56 (m, 3H), 1.11 – 0.97 (m, 5H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.3, 148.4, 139.4, 136.0, 135.3, 130.0, 128.5, 127.2, 127.1, 125.8, 124.6, 124.0, 117.9, 77.8, 44.4, 40.9, 30.5, 29.3, 26.9, 26.7, 26.3.
HRMS (ESI) m/z calcd. $C_{27}H_{29}Cl_2N_2O$ for $[M + H]^+$ 467.1651, found 467.1652.

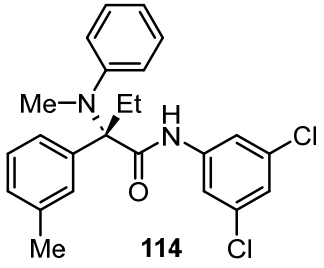
(*S*)-*N*-(3,5-Dichlorophenyl)-2-(3-methoxyphenyl)-2-(methyl(phenyl)amino)butanamide (113)



113

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-(3-methoxyphenyl)butanamide **E24** (89.4 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **113** as a yellowish oil (56.8 mg, 64% yield, 92% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.7 mL/min, λ = 254 nm), t_R (major) = 13.76 min, t_R (minor) = 16.83 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.52 (s, 1H), 7.58 – 7.55 (m, 2H), 7.28 – 7.22 (m, 3H), 7.13 – 7.08 (m, 2H), 6.93 – 6.85 (m, 5H), 3.77 (s, 3H), 2.73 (s, 3H), 2.07 – 2.02 (m, 2H), 0.87 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 159.0, 147.8, 139.8, 137.0, 135.3, 128.5, 128.4, 125.5, 124.5, 124.0, 122.0, 117.9, 115.9, 112.4, 74.4, 55.2, 40.1, 32.4, 9.7.
HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O_2$ $[M + H]^+$ 443.1288, found 443.1287.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-(methyl(phenyl)amino)-2-(*m*-tolyl)butanamide (114)



114

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-(*m*-

tolyl)butanamide **E25** (86.2 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **114** as a yellowish oil (43.6 mg, 51% yield, 90% ee).

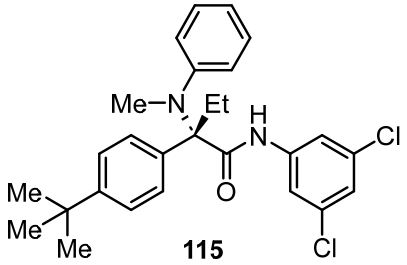
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 9.39 min, t_R (minor) = 11.13 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.57 (s, 1H), 7.58 – 7.56 (m, 2H), 7.26 – 7.21 (m, 3H), 7.14 – 7.09 (m, 4H), 7.05 – 7.02 (m, 1H), 6.90 – 6.88 (m, 2H), 2.70 (s, 3H), 2.35 (s, 3H), 2.11 – 1.97 (m, 2H), 0.86 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 147.9, 139.9, 137.0, 135.2, 134.9, 130.3, 128.4, 128.2, 127.4, 126.4, 125.8, 124.6, 123.9, 117.8, 74.5, 40.2, 32.1, 21.7, 9.7.

HRMS (ESI) m/z calcd. for $C_{24}H_{25}Cl_2N_2O$ $[M + H]^+$ 427.1338, found 427.1337.

(*S*)-2-(4-(*tert*-Butyl)phenyl)-*N*-(3,5-dichlorophenyl)-2-(methyl(phenyl)amino)butanamide (115)



115

According to **General procedure D** with 2-(4-(*tert*-butyl)phenyl)-2-chloro-*N*-(3,5-dichlorophenyl)butanamide **E26** (95.8 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **115** as a yellowish oil (59.2 mg, 63% yield, 86% ee).

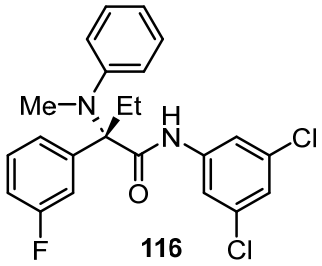
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (minor) = 9.15 min, t_R (major) = 11.04 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.56 (s, 1H), 7.58 – 7.55 (m, 2H), 7.37 – 7.34 (m, 2H), 7.27 – 7.18 (m, 4H), 7.13 – 7.08 (m, 2H), 6.92 – 6.88 (m, 2H), 2.72 (s, 3H), 2.12 – 1.98 (m, 2H), 1.33 (s, 9H), 0.86 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 150.2, 148.1, 139.9, 135.2, 131.9, 129.2, 128.5, 125.6, 124.4, 124.4, 123.9, 117.8, 74.3, 40.3, 34.4, 32.0, 31.3, 9.7.

HRMS (ESI) m/z calcd. for $C_{27}H_{31}Cl_2N_2O$ $[M + H]^+$ 469.1808, found 469.1809.

(*S*)-*N*-(3,5-Dichlorophenyl)-2-(3-fluorophenyl)-2-(methyl(phenyl)amino)butanamide (116)



116

According to **General procedure D** with 2-chloro-*N*-(3,5-dichlorophenyl)-2-(3-fluorophenyl)butanamide **E27** (86.6 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (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 = 15/1) to yield the product **116** as a yellowish oil (50.0 mg, 58% yield, 90% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 99/1, flow rate 0.6 mL/min, λ = 254 nm), t_R (major) = 10.63 min, t_R (minor) = 12.06 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.52 (s, 1H), 7.58 – 7.55 (m, 2H), 7.34 – 7.24 (m, 3H), 7.15 – 7.11 (m, 2H), 7.07 – 7.00 (m, 3H), 6.89 – 6.87 (m, 2H), 2.72 (s, 3H), 2.02 (q, *J* = 7.4 Hz, 2H), 0.87 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 162.2 (d, *J* = 243.2 Hz), 147.4, 139.6, 137.8 (d, *J* = 6.6 Hz), 135.3, 128.8 (d, *J* = 8.0 Hz), 128.6, 125.6, 125.18 (d, *J* = 2.8 Hz), 124.8, 124.2, 117.9, 116.70 (d, *J* = 22.8 Hz), 114.4 (d, *J* = 20.8 Hz), 74.2, 39.9, 32.8, 9.6.

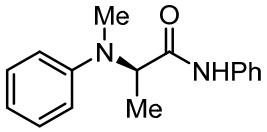
^{19}F NMR (376 MHz, $CDCl_3$) δ –113.0 (s, 1F).

HRMS (ESI) m/z calcd. for $C_{23}H_{22}Cl_2FN_2O$ $[M + H]^+$ 431.1088, found 431.1088.

6. Procedure for synthetic applications

Catalyst-controlled stereoselectivity in the N-alkylation of amine and chiral alkyl bromide.

(*R*)-2-(Methyl(phenyl)amino)-*N*-phenylpropanamide ((*R*)-1)

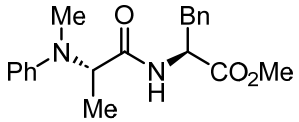


(*R*)-**1**

According to **General Procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.1 mg, 0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10/1) to yield the product (*R*)-**1** as a yellowish oil (45.8 mg, 90% yield, 95% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.19 min, t_R (major) = 9.20 min.

Methyl *N*-methyl-*N*-phenyl-*L*-alanyl-*L*-phenylalaninate (*S*)-117



(*S*)-**117**

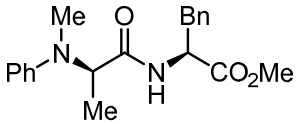
According to **General procedure A** with methyl (2-bromopropanoyl)-*L*-phenylalaninate **E28** (94.2 mg, 0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product (*S*)-**117** as a yellowish oil (40.8 mg, 60% yield, >20:1 d.r.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.24 (m, 2H), 7.23 – 7.19 (m, 3H), 7.08 – 7.06 (m, 1H), 7.04 – 6.99 (m, 2H), 6.88 – 6.84 (m, 1H), 6.78 – 6.75 (m, 2H), 4.92 – 4.87 (m, 1H), 4.25 (q, *J* = 7.1 Hz, 1H), 3.72 (s, 3H), 3.15 – 3.10 (m, 1H), 3.05 – 3.00 (m, 1H), 2.73 (s, 3H), 1.34 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 172.0, 149.7, 135.7, 129.2, 129.1, 128.6, 127.1, 119.0, 114.8, 60.2, 52.7, 52.3, 37.8, 33.3, 12.3.

HRMS (ESI) m/z calcd. for $C_{20}H_{25}N_2O_3$ $[M + H]^+$ 341.1860, found 341.1860.

Methyl *N*-methyl-*N*-phenyl-*D*-alanyl-*L*-phenylalaninate (*R*)-117



(*R*)-**117**

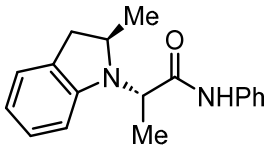
According to **General procedure A** with methyl (2-bromopropanoyl)-*L*-phenylalaninate **E28** (94.2 mg, 0.30 mmol, 1.5 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product (*R*)-**117** as a yellowish oil (37.4 mg, 55% yield, 10:1 d.r.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.19 (m, 5H), 7.08 – 7.05 (m, 2H), 7.02 – 6.96 (m, 1H), 6.86 – 6.81 (m, 1H), 6.79 – 6.75 (m, 2H), 4.92 – 4.84 (m, 1H), 4.28 (q, *J* = 7.0 Hz, 1H), 3.72 (s, 3H), 3.22 – 3.10 (m, 1H), 3.05 – 2.97 (m, 1H), 2.51 (s, 3H), 1.35 – 1.28 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 171.9, 149.4, 135.9, 129.2, 129.0, 128.6, 127.1, 118.9, 114.8, 60.3, 52.9, 52.3, 37.6, 33.8, 11.8.

HRMS (ESI) m/z calcd. for $C_{20}H_{25}N_2O_3$ $[M + H]^+$ 341.1860, found 341.1859.

(*S*)-2-((*R*)-2-Methylindolin-1-yl)-*N*-phenylpropanamide (*S*)-118



(*S*)-**118**

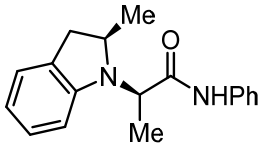
According to **General procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.4 mg, 0.30 mmol, 1.5 equiv) and (*R*)-2-methylindoline **N77** (26.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product (*S*)-**118** as a yellowish oil (48.2 mg, 86% yield, 13:1 d.r.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (s, 1H), 7.54 – 7.51 (m, 2H), 7.33 – 7.29 (m, 2H), 7.14 – 7.07 (m, 3H), 6.80 – 6.76 (m, 1H), 6.53 – 6.51 (m, 1H), 4.25 (q, *J* = 7.2 Hz, 1H), 3.98 – 3.89 (m, 1H), 3.27 – 3.21 (m, 1H), 2.75 – 2.68 (m, 1H), 1.49 (d, *J* = 7.2 Hz, 3H), 1.34 (d, *J* = 6.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 151.0, 137.5, 129.3, 129.0, 127.5, 124.6, 124.2, 119.5, 119.3, 107.8, 57.2, 56.5, 37.6, 21.2, 11.1.

HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M + H]^+$ 281.1648, found 281.1647.

(*R*)-2-((*R*)-2-Methylindolin-1-yl)-*N*-phenylpropanamide (*R*)-118



(*R*)-**118**

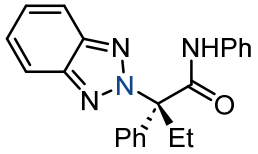
According to **General procedure A** with 2-bromo-*N*-phenylpropanamide **E1** (68.4 mg, 0.30 mmol, 1.5 equiv) and (*R*)-2-methylindoline **N77** (26.6 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product (*R*)-**118** as a yellowish oil (49.3 mg, 88% yield, 15:1 d.r.).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.89 (s, 1H), 7.53 – 7.50 (m, 2H), 7.32 – 7.28 (m, 2H), 7.12 – 7.07 (m, 2H), 7.01 – 6.97 (m, 1H), 6.78 – 6.74 (m, 1H), 6.41 – 6.39 (m, 1H), 3.92 (q, *J* = 7.0 Hz, 1H), 3.76 – 3.67 (m, 1H), 3.26 – 3.20 (m, 1H), 2.78 – 2.71 (m, 1H), 1.45 (d, *J* = 6.0 Hz, 3H), 1.39 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 148.0, 137.6, 130.2, 128.9, 127.1, 124.6, 124.2, 119.8, 119.7, 111.0, 59.7, 55.9, 37.2, 20.0, 7.9.

HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M + H]^+$ 281.1648, found 281.1646.

(*S*)-2-(2*H*-Benzo[*d*][1,2,3]triazol-2-yl)-*N*,2-diphenylbutanamide (119)

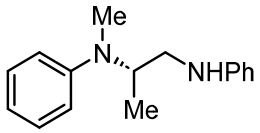


119

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*9** (13.0 mg, 0.03 mmol, 15 mol %), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv), and anhydrous benzene (2.0 mL).

Then, the mixture was stirred at room temperature for 3 h. After that, 2-chloro-*N*,2-diphenylbutanamide **E29** (57.8 mg, 0.20 mmol, 1.0 equiv) and 1*H*-benzo[*d*][1,2,3]triazole **N78** (28.6 mg, 0.24 mmol, 1.2 equiv) were sequentially added into the mixture and the reaction mixture was stirred at room temperature for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **119** as a white solid (57.6 mg, 81% yield, 91% ee).
HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.7 mL/min, λ = 254 nm), t_R (minor) = 15.53 min, t_R (major) = 19.52 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 7.97 – 7.92 (m, 2H), 7.58 – 7.55 (m, 2H), 7.47 – 7.43 (m, 2H), 7.33 – 7.27 (m, 5H), 7.13 – 7.08 (m, 3H), 3.19 – 3.03 (m, 2H), 1.06 (t, *J* = 7.2 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 143.7, 140.7, 137.5, 128.9, 128.5, 128.3, 127.3, 126.1, 124.7, 120.2, 118.3, 81.5, 32.3, 9.5.
HRMS (ESI) m/z calcd. for $C_{22}H_{21}N_4O$ $[M + H]^+$ 357.1710, found 357.1709.

The synthesis of vicinal diamine 120
To a solution of **1** (25.4 mg, 0.10 mmol, 1.0 equiv) in anhydrous THF (2.0 mL) was added $LiAlH_4$ (0.16 mL, 0.40 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 = 5/1) to yield the product **120** as a yellowish oil (19.0 mg, 79% yield, 92% ee).
(*S*)-*N*^{2}-Methyl-*N*^{1}, *N*^{2}-diphenylpropane-1,2-diamine (120)



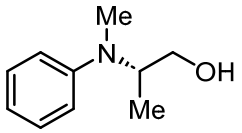
120

HPLC analysis: Chiralcel ADH (*n*-hexane/*i*-PrOH = 97/3, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 7.16 min, t_R (minor) = 7.92 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.23 (m, 2H), 7.20 – 7.15 (m, 2H), 6.90 – 6.87 (m, 2H), 6.80 – 6.76 (m, 1H), 6.73 – 6.69 (m, 1H), 6.62 – 6.58 (m, 2H), 4.25 – 4.16 (m, 1H), 3.97 (s, 1H), 3.25 – 3.13 (m, 2H), 2.70 (s, 3H), 1.14 (d, *J* = 6.6 Hz, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 150.8, 148.1, 129.2, 129.2, 117.8, 117.4, 114.5, 112.9, 53.8, 46.8, 29.6, 14.2.
HRMS (ESI) m/z calcd. for $C_{16}H_{21}N_2$ $[M + H]^+$ 241.1699, found 241.1698.

The synthesis of amino alcohol 121
To a solution of **1** (25.4 mg, 0.1 mmol, 1.0 equiv) in CH_3CN (2.0 mL) was added Boc_2O

(109.0 mg, 0.5 mmol, 5.0 equiv) and DMAP (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 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 $NaBH_4$ (15.2 mg, 0.4 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 **121** as a yellowish oil (13.8 mg, 85% yield, 96% ee).

(*S*)-2-(Methyl(phenyl)amino)propan-1-ol (121)



121

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 11.69 min, t_R (minor) = 12.95 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.23 (m, 2H), 6.95 – 6.91 (m, 2H), 6.83 – 6.79 (m, 1H), 4.09 – 4.00 (m, 1H), 3.66 – 3.58 (m, 2H), 2.72 (s, 3H), 2.17 (s, 1H), 1.03 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 151.2, 129.1, 118.4, 115.3, 63.6, 57.2, 29.9, 12.2.

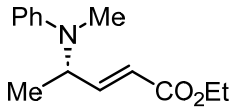
HRMS (ESI) m/z calcd. for $C_{10}H_{16}NO$ $[M + H]^+$ 166.1226, found 166.1226.

The synthesis of carbon chain-elongated building block 122

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with oxalyl chloride (22.8 mg, 0.18 mmol, 1.8 equiv) in CH_2Cl_2 (0.5 mL) at −78 °C was added DMSO (15.6 mg, 0.20 mmol, 2.0 equiv) dropwise. After stirring for 30 min a solution of the amino alcohol **121** (16.5 mg, 0.10 mmol, 1.0 equiv) in CH_2Cl_2 (0.5 mL) was added over 15 min. The mixture was warmed to −45 °C and stirring was continued for 1 h at this temperature, then triethylamine (50.6 mg, 0.50 mmol, 5.0 equiv) was added. The reaction mixture was brought to 0 °C and maintained for 15 min, then Ethyl (triphenylphosphoranylidene)acetate (41.8 mg, 0.12 mmol, 1.2 equiv) in benzene (0.5 mL) was added and the resulting solution was stirred for 15 h at room temperature. 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 = 10/1) to yield the product **122** as a yellowish oil (15.6 mg, 67% yield, 96% ee).

Ethyl (*S*,*E*)-4-(methyl(phenyl)amino)pent-2-enoate (122)



122

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 98/2, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.23 min, t_R (minor) = 13.48 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 – 7.22 (m, 2H), 7.00 (dd, *J* = 15.8, 4.1 Hz, 1H), 6.80 – 6.72 (m, 3H), 5.90 (dd, *J* = 15.8, 2.0 Hz, 1H), 4.63 – 4.57 (m, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 2.76 (s, 3H), 1.34 (d, *J* = 6.9 Hz, 3H), 1.29 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 149.5, 149.3, 129.2, 121.4, 117.3, 113.4, 60.4, 54.6, 31.9, 16.0, 14.2.

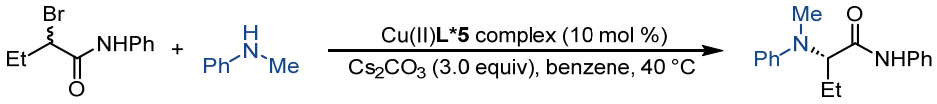
HRMS (ESI) m/z calcd. for $C_{14}H_{20}NO_2$ $[M + H]^+$ 234.1489, found 234.1484.

7. Mechanistic studies

Preparation and characterization of Cu(II)L*5 complex

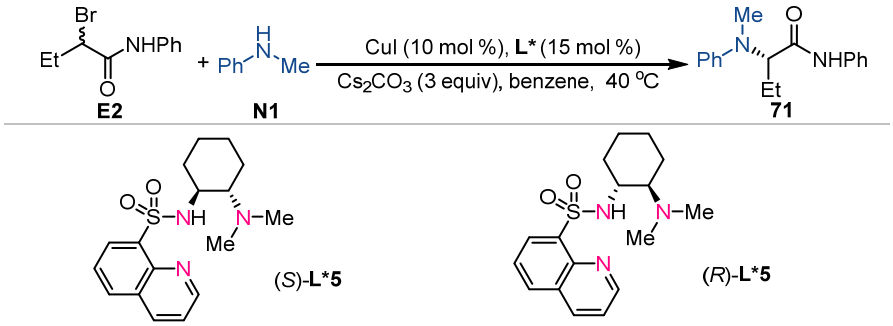
According to the literature reported procedure[6], to a solution of $Cu(OAc)_2$ (36.2 mg, 0.20 mmol) in methanol (4 mL), **L*5** (33.3 mg, 0.10 mmol) was added and stirred overnight. Then the solution was concentrated in vacuo, the residue dissolved in CH_2Cl_2 (10 mL) and filtered. The crude reaction product was recrystallized from dichloromethane/hexane to obtain pure product Cu(II)**L*5** complex.

The catalytic activity of Cu(II)L*5 complex



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with Cu(II)**L*5** complex (11.2 mg, 0.02 mmol, 10 mol %) , Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv), 2-bromo-*N*-phenylbutanamide **E2** (57.8 mg, 0.24 mmol, 1.2 equiv), *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) and anhydrous benzene (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at 40 °C for 72 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 **67** (85%, 96% ee).

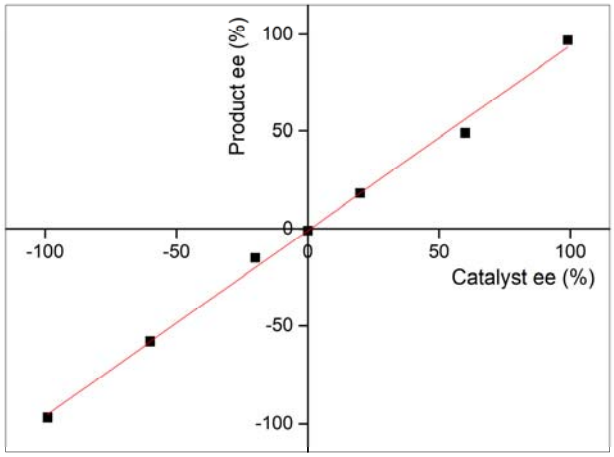
The non-linear effect of catalyst



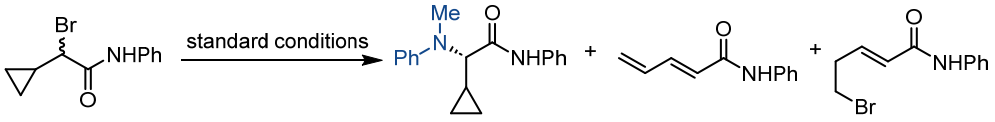
According to **General Procedure C** with 2-bromo-*N*-phenylbutanamide **E2** (57.8 mg, 0.24 mmol, 1.2 equiv) and *N*-methylaniline **N1** (21.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel The ee values of products were then determined by HPLC, which indicated a linear relationship between ee values of products and corresponding catalysts. The catalyst **L*5** with different ee values

were prepared by mixing **L*5** (99% ee) and *(R)*-**L*5** (99% ee) in appropriate ratios.

Entry	Catalyst ee (%)	Product ee (%)
1	99	97
2	60	49
3	20	18
4	0	-1
5	-20	-15
6	-60	-58
7	-99	-97



Radical clock experiments



E30

with **N1**: **123**, 9%, 97% ee; **124**, 24%; **125**, 34%

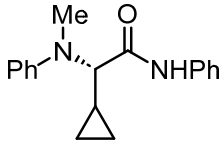
without **N1**: **124**, 63%; **125**, 18%

With **N1**: 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*3** (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-bromo-2-cyclopropyl-*N*-phenylacetamide **E30** (76.2 mg, 0.30 mmol, 1.5 equiv), *N*-methylaniline **N1** (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 rt 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 to yield the product **123** as a colorless oil (7.3 mg, 9% yield based on **E30**, 97% ee), **124** as a colorless oil (12.5 mg, 24% yield) and **125** as a colorless oil (26.4 mg, 34% yield).

Without **N1**: 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*3** (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-bromo-2-cyclopropyl-*N*-phenylacetamide **E30** (50.8 mg, 0.20 mmol, 1.0 equiv) anhydrous 1,4-dioxane (2.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at rt 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 to yield the product **124** as a colorless oil (21.8 mg, 63% yield) and **125** as a colorless oil (9.1 mg, 18% yield).

(*S*)-2-Cyclopropyl-2-(methyl(phenyl)amino)-*N*-phenylacetamide (123)



123

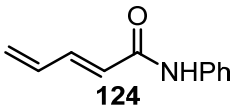
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (major) = 11.11 min, t_R (minor) = 16.78 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.55 – 7.51 (m, 2H), 7.32 – 7.25 (m, 4H), 7.12 – 7.06 (m, 1H), 6.91 – 6.86 (m, 3H), 3.46 (d, *J* = 9.5 Hz, 1H), 3.05 (s, 3H), 1.34 – 1.26 (m, 1H), 0.89 – 0.82 (m, 1H), 0.63 – 0.49 (m, 2H), 0.22 – 0.16 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.7, 150.3, 137.6, 129.3, 128.9, 124.2, 119.6, 119.4, 115.0, 72.1, 34.8, 11.4, 5.7, 2.6.

HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M + H]^+$ 281.1648, found 281.1645.

(*E*)-*N*-Phenylpenta-2,4-dienamide (124)



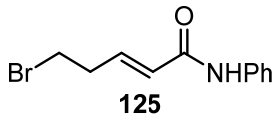
124

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (s, 1H), 7.61 – 7.58 (m, 2H), 7.35 – 7.28 (m, 3H), 7.12 – 7.09 (m, 1H), 6.47 – 6.37 (m, 1H), 6.08 (d, *J* = 15.0 Hz, 1H), 5.56 (d, *J* = 17.0, 1.3 Hz, 1H), 5.45 (d, *J* = 10.0, 1.4 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 164.2, 142.3, 138.0, 134.6, 129.0, 125.0, 124.9, 124.4, 120.0.

HRMS (ESI) m/z calcd. for $C_{11}H_{12}NO$ $[M + H]^+$ 174.0913, found 174.0912.

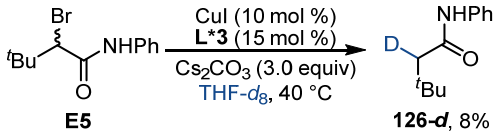
(*E*)-5-Bromo-*N*-phenylpent-2-enamide (125)



125

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.58 (d, *J* = 7.6 Hz, 2H), 7.32 (t, *J* = 7.8 Hz, 2H), 7.11 (t, *J* = 7.3 Hz, 1H), 6.93 – 6.85 (m, 1H), 6.06 (d, *J* = 15.3 Hz, 1H), 3.43 (t, *J* = 6.8 Hz, 2H), 2.76 (q, *J* = 6.7 Hz, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 141.7, 137.8, 129.0, 126.4, 124.5, 120.1, 35.0, 30.2.
HRMS (ESI) m/z calcd. for $C_{11}H_{13}BrNO$ $[M + H]^+$ 254.0175, found 254.0173.

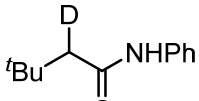
Alkyl radical deuterium atom abstraction from THF-d_8.



E5 **126-*d***, 8%

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*3** (15.4 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-bromo-3,3-dimethyl-*N*-phenylbutanamide **E5** (54.0 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 40 °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 yield the product **126-*d*** as a white solid (3.1 mg, 8% yield).

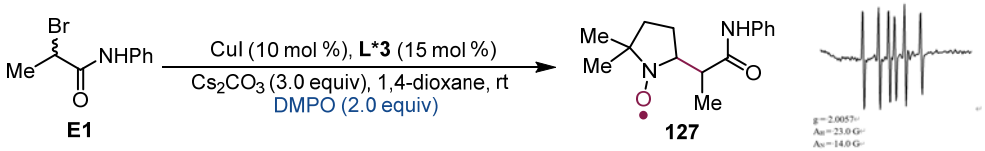
2-*d*-3,3-Dimethyl-N-phenylbutanamide (126-*d*)



126-*d*

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.49 (m, 2H), 7.35 – 7.29 (m, 2H), 7.13 – 7.08 (m, 1H), 7.04 (s, 1H), 2.23 (s, 1H), 1.11 (s, 9H).
HRMS (ESI) m/z calcd. for $C_{12}H_{17}DNO$ $[M + H]^+$ 193.1446, found 193.1449.

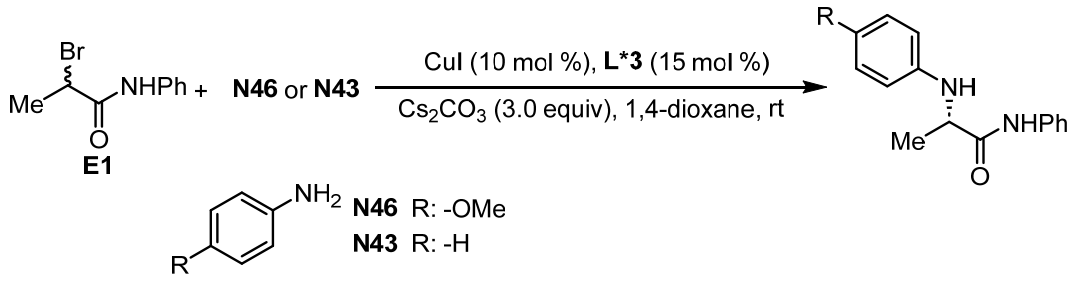
EPR (electron paramagnetic resonance) for the detection of radical intermediate during the reaction



E1 **127**

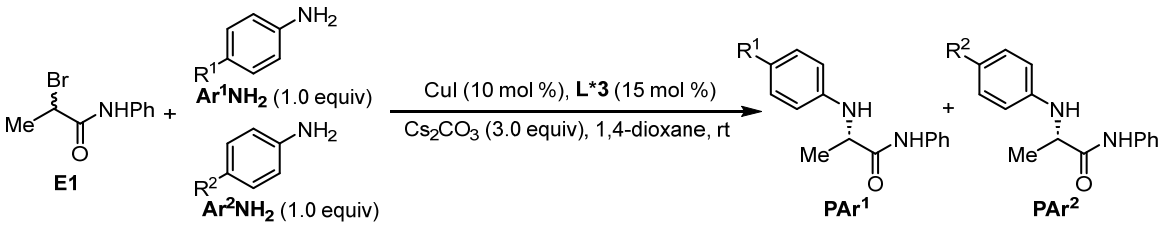
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (0.9 mg, 0.005 mmol, 10 mol %), **L*3** (3.9 mg, 0.0075 mmol, 15 mol %), Cs_2CO_3 (48.9 mg, 0.15 mmol, 3.0 equiv), and anhydrous 1,4-dioxane (0.5 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-bromo-*N*-phenylpropanamide **E1** (11.4 mg, 0.05 mmol, 1.0 equiv), and anhydrous 1,4-dioxane (0.5 mL) were sequentially added into the mixture without amine and the reaction mixture was stirred at rt for 4 h. Next, 5,5-dimethyl-1-pyrroline *N*-oxide DMPO (2.0 equiv) was added and the reaction mixture was stirred at rt for another 10 min. 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 **127** was formed.

Time-course experiments for electron-rich *p*-anisidine compared to unsubstituted aniline



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (7.6 mg, 0.04 mmol, 10 mol %), **L*3** (30.9 mg, 0.06 mmol, 15 mol %), Cs_2CO_3 (392.0 mg, 1.20 mmol, 3.0 equiv), and anhydrous 1,4-dioxane (4.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-bromo-*N*-phenylpropanamide **E1** (91.2 mg, 0.40 mmol, 1.0 equiv), aromatic amines (0.60 mmol, 1.5 equiv), and anhydrous 1,4-dioxane (4.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature. Taking 0.5 mL of the reaction solution at regular intervals. 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 yields were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard.

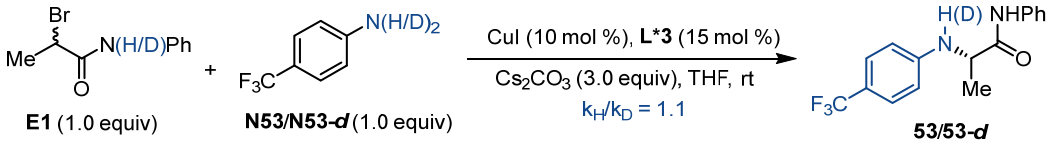
Competition experiments with paired aromatic amines possessing distinct electronic properties (OMe, H, and CF_3 at the *para* position)



Ar^1NH_2	Ar^2NH_2	Yield of PAr^1 (%)	Yield of PAr^2 (%)
N46, R^1 = OMe	**N43**, R^2 = H	53	17
N43, R^1 = H	**N53**, R^2 = CF_3	55	11
N46, R^1 = OMe	**N53**, R^2 = CF_3	75	5

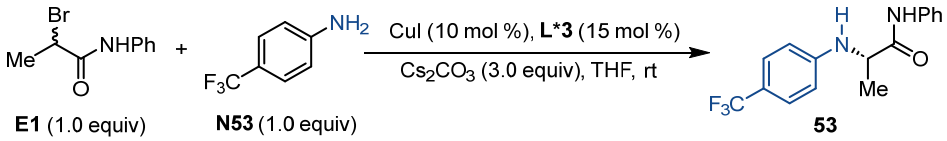
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (3.8 mg, 0.02 mmol, 10 mol %), **L*3** (15.8 mg, 0.03 mmol, 15 mol %), Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv), and anhydrous 1,4-dioxane (2.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-bromo-*N*-phenylpropanamide **E1** (45.4 mg, 0.20 mmol, 1.0 equiv), both two different aromatic amines, and anhydrous 1,4-dioxane (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 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 yields were based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard.

Further KIE (kinetic isotope effect) experiments

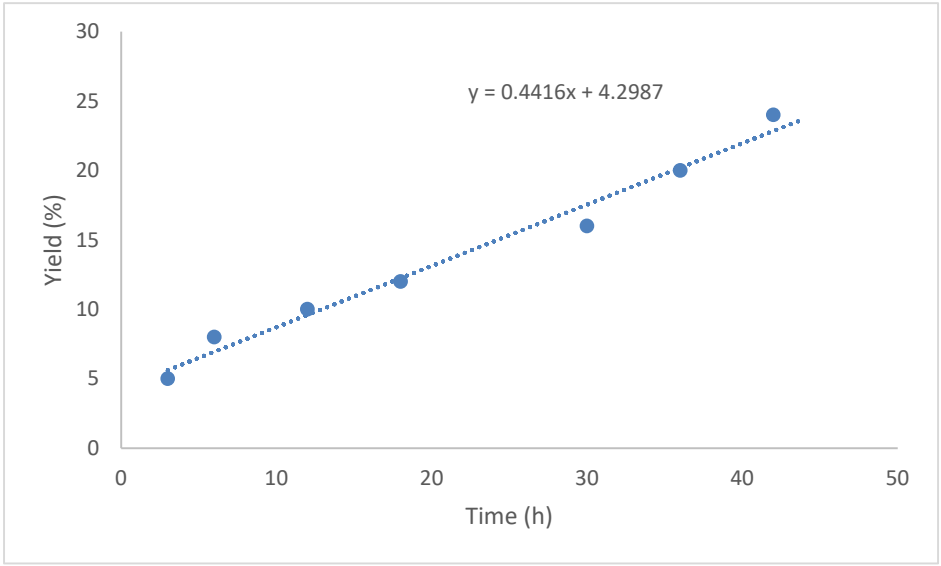


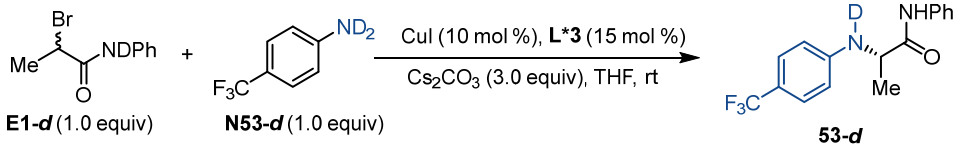
Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (11.4 mg, 0.06 mmol, 10 mol %), **L*3** (46.3 mg, 0.09 mmol, 15 mol %), Cs_2CO_3 (588.0 mg, 1.80 mmol, 3.0 equiv), and anhydrous THF (6.0 mL). Then, the mixture was stirred at room temperature for 1 h. After that, 2-bromo-*N*-phenylpropanamide **E1** (136.9 mg, 0.20 mmol, 1.0 equiv), **N53** (96.6 mg, 0.60 mmol, 1.0 equiv) **or N53-*d*** (99.6 mg, 0.60 mmol, 1.0 equiv), and anhydrous THF (6.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at room temperature. Taking 0.5 mL of the reaction solution at regular intervals. 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 yields of **53**/**53-d** were based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard.

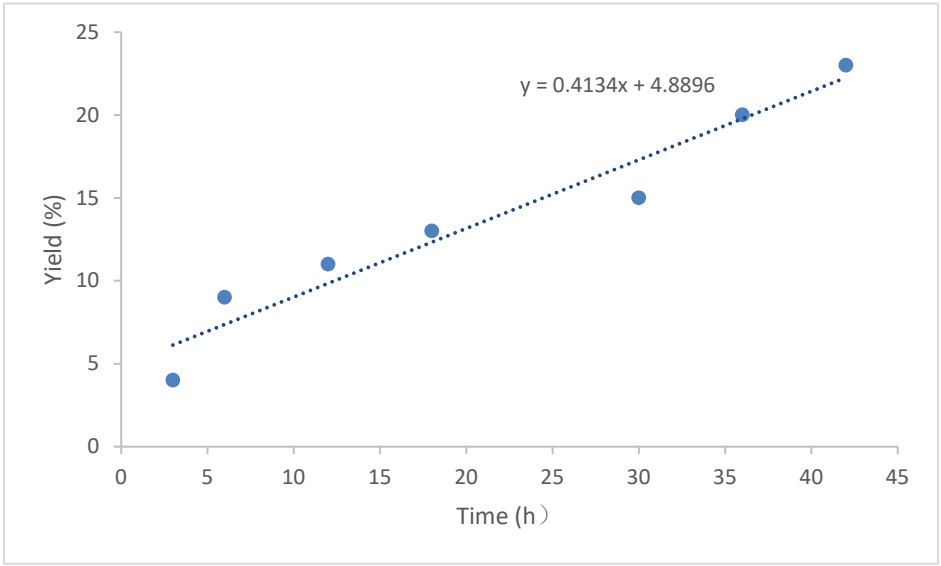


E1 (1.0 equiv) **N53** (1.0 equiv) **53**

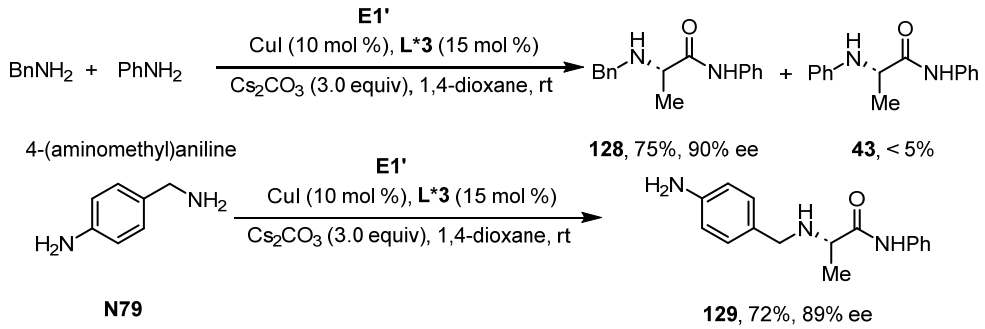




E1-*d* (1.0 equiv) **N53-*d*** (1.0 equiv) **53-*d***

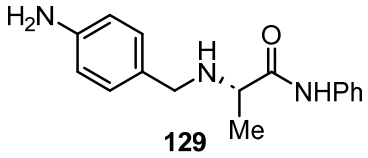


Competition experiments with aliphatic and aromatic amine



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*3** (15.8 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'** (36.7 mg, 0.20 mmol, 1.0 equiv), both $BnNH_2$ (21.4 mg, 0.20 mmol, 1.0 equiv) and $PhNH_2$ (18.6 mg, 0.20 mmol, 1.0 equiv) or (4-(aminomethyl)aniline (24.4 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 room temperature for 72 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 yields were based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard.

(*S*)-2-((4-Aminobenzyl)amino)-*N*-phenylpropanamide (129)



129

According to **General procedure A** with 2-chloro-*N*-phenylpropanamide **E1'** (36.7 mg, 0.20 mmol, 1.0 equiv) and 4-(aminomethyl)aniline **N79** (24.4 mg, 0.20 mmol, 1.0 equiv) for 72 h, the reaction mixture was purified by flash column chromatography on silica gel (CH_2Cl_2/MeOH = 10/1) to yield the product **129** as a yellowish oil (38.8 mg, 72% yield, 89% ee).

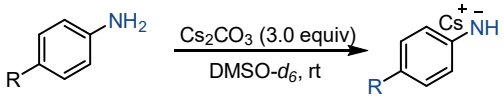
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 75/25, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 19.98 min, t_R (minor) = 27.68 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.43 (s, 1H), 7.62 – 7.56 (m, 2H), 7.36 – 7.30 (m, 2H), 7.12 – 7.08 (m, 3H), 6.66 (d, *J* = 7.8 Hz, 2H), 3.74 – 3.65 (m, 2H), 3.34 (q, *J* = 7.0 Hz, 1H), 1.38 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 137.9, 129.2, 129.0, 123.9, 119.3, 115.3, 58.3, 52.4, 19.7.

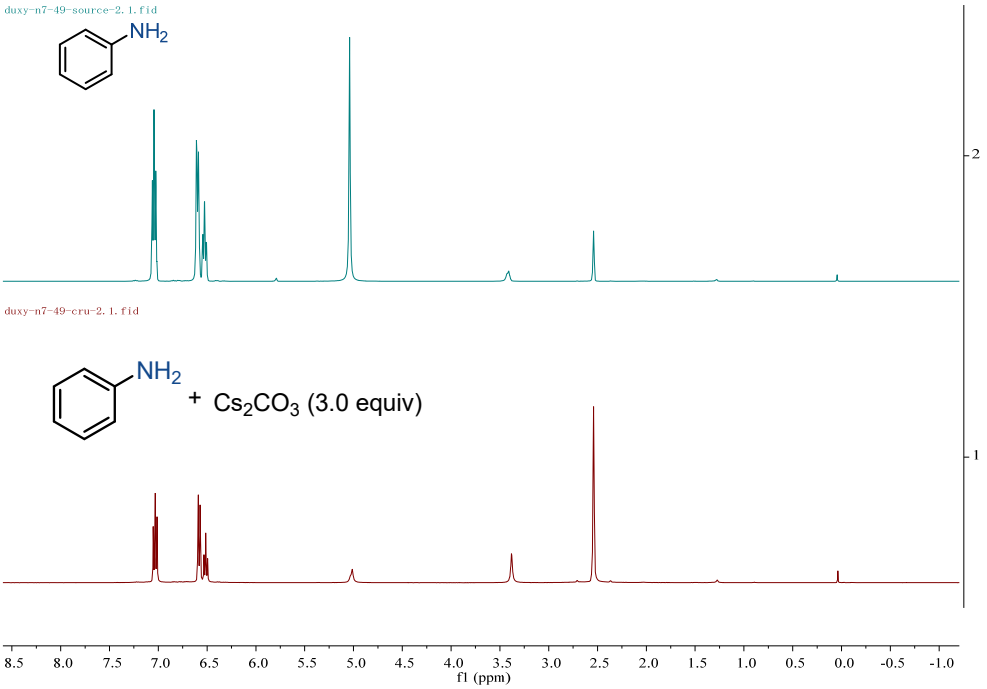
HRMS (ESI) m/z calcd. for $C_{16}H_{20}N_3O$ $[M + H]^+$ 270.1601, found 270.1595.

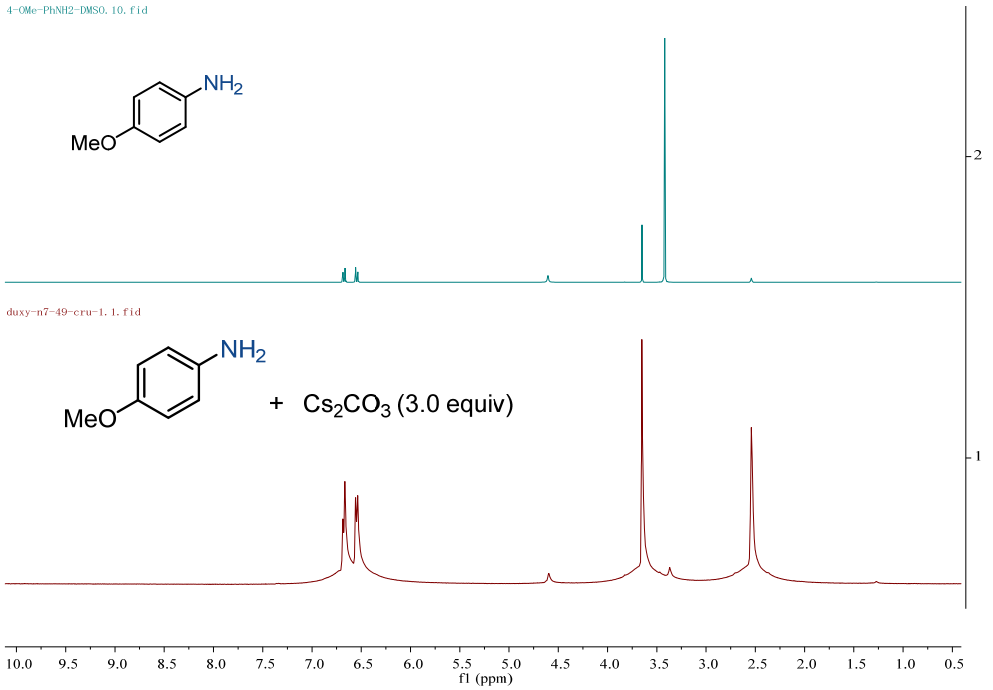
The deprotonation of aromatic amine using Cs_2CO_3 as base

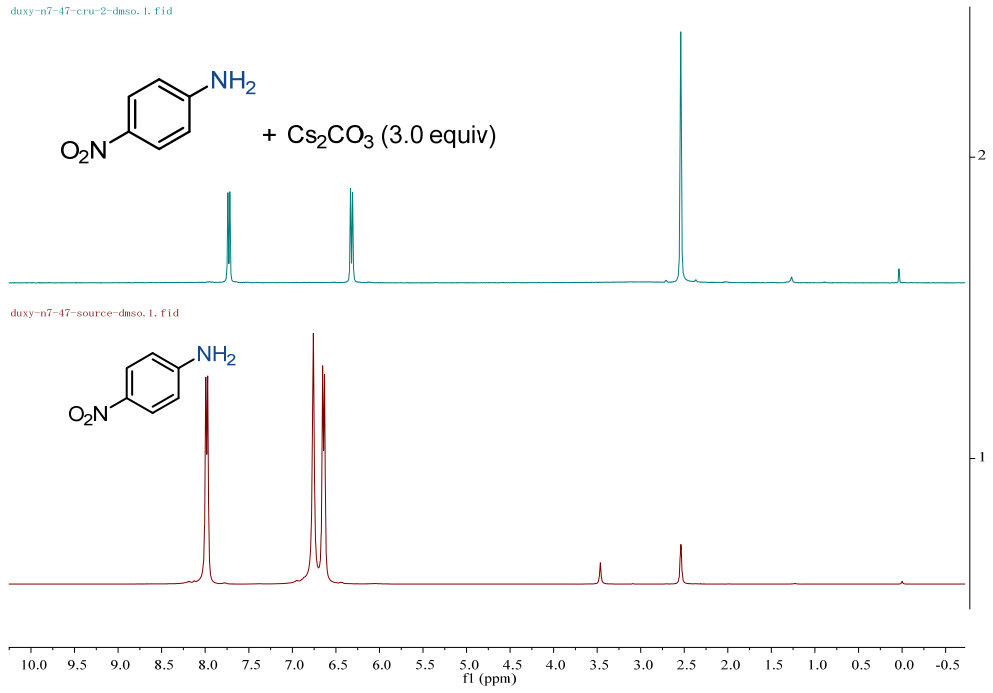


N43, R = H no product
N46, R = OMe no product
N59, R = NO_2 100% conversion

In the glove box, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with dry Cs_2CO_3 (195.5 mg, 0.60 mmol, 3.0 equiv), aromatic amines (0.20 mmol, 1.0 equiv) and anhydrous DMSO-d_6 (3.0 mL) were sequentially added into the mixture and the reaction mixture was stirred at rt for 12 h. The crude solvents were detected on ^{1}H NMR analysis.




4-OMe-PhNH2-DMSO.10.fid
NH2
MeO
2
duxy-n7-49-cru-1.1.fid
NH2
MeO
+ Cs2CO3 (3.0 equiv)
1
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
f1 (ppm)

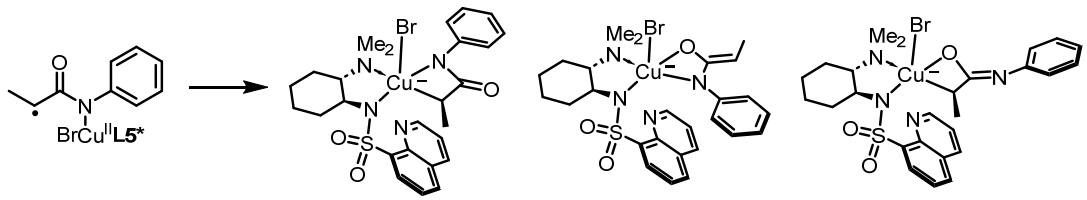

duxy-n7-47-cru-2-dmso.1.fid
NH2
O2N
+ Cs2CO3 (3.0 equiv)
2
duxy-n7-47-source-dmso.1.fid
NH2
O2N
1
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

8. Computational studies

Computational Methods

All of the DFT calculations were carried out with the Gaussian 16 series of programs[7]. The B3LYP-D3 functional[8-10] with a Becke-Johnson (BJ) damping function[11] and the standard 6-31G(d) basis set (SDD basis set for Cu atom) was used for geometry optimizations. Harmonic vibrational frequency calculations were performed for all stationary points to determine whether they are local minima or transition structures and to derive thermochemical corrections for the enthalpies and free energies. The M06[12] functional with the 6-311+G(d,p) basis set (SDD basis set for Cu atom) was used to calculate the single-point energies and give more accurate energy information. The solvent effects were considered by single-point calculations of the gas-phase stationary points with the SMD solvation model[13] in 1,4-dioxane solvent.

Discussion on the possible Cu intermediates



In order to identify the most stable Cu(III) intermediate, we resorted to calculation methods. As such, we have carried out preliminary density functional theory (DFT) studies using the reaction of substrate **E1** and ligand **L*5** (81% yield and 92% ee, Table 1) as the model system (Figure S5). The proposed Cu(III) intermediates include three possibilities: the N,C-bound intermediate **Int-130**-singlet, the N,O-bound intermediate **Int-131**-singlet, and the O,C-bound intermediate **Int-132**-singlet (a similar structure was proposed by Kürti[14]). The DFT studies show that the singlet state **Int-131**-singlet and **Int-132**-singlet are less stable than **Int-130**-singlet by 34.3 kcal/mol and 10.8 kcal/mol, respectively. It should be noted that more evidence is needed to support the proposed **Int-130**-singlet and further study is still ongoing in our laboratory.

Absolute energies and Cartesian coordinates for DFT-optimized compounds and transition states. Values are given in Hartree.

Int-B1

B3LYP-D3(BJ)/6-31G(d)·SCF energy: -4621.287967 a.u.

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to enthalpy: 0.576683

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to Gibbs free energy: 0.473837

M06/6-311+G(d,p)·SCF energy in solution: -4623.178529 a.u.

C	-1.11422200	-1.10450000	-0.60865100
C	0.23672500	-1.36777200	-0.59444500
C	1.15509000	-0.40779400	-1.10414400
C	0.64220000	0.78887400	-1.69431200
C	-0.75455000	1.03162800	-1.68197000
C	-1.61375100	0.10891000	-1.13579200
H	-1.78479800	-1.86169200	-0.21739500
C	1.57110300	1.68808700	-2.26831400
H	-1.12587100	1.95895500	-2.11047700
H	-2.68340100	0.29775800	-1.11920300
C	2.91227500	1.38323000	-2.26624900
C	3.33852000	0.21730500	-1.59945300
H	1.20594100	2.61289900	-2.70811400
H	3.64776800	2.04358500	-2.71308900
H	4.38894200	-0.03749000	-1.49899200
N	2.49826000	-0.62063400	-1.01551400
S	0.71595800	-3.05582900	-0.16235400
O	-0.46244700	-3.64938500	0.52411200
O	1.08336000	-3.67596700	-1.45247500
N	1.93125200	-2.86291600	0.87456100
C	2.20287900	-3.98633700	1.77519300
C	2.16748300	-5.39844200	1.15594000
C	3.61242400	-3.77779300	2.35406800
H	1.46482800	-3.98031900	2.59630000
C	2.45955700	-6.45843200	2.22742400
H	2.90357900	-5.44290200	0.34448500
H	1.18463700	-5.58187700	0.71630100
C	3.86435700	-4.77043300	3.49798400
H	4.31844600	-3.98983800	1.54411000
C	3.79055600	-6.20284000	2.94613300
H	2.45995000	-7.45917500	1.77651400
H	1.64566800	-6.44962100	2.96799200
H	4.84672300	-4.59967800	3.95216700
H	3.11383400	-4.63964400	4.29000100
H	3.93711500	-6.92918500	3.75687100
H	4.61719300	-6.34660100	2.23654500
N	3.85659800	-2.34270100	2.66387300
C	5.26722400	-2.08677200	2.98687600
H	5.41393800	-1.00987400	3.07607400
H	5.55656500	-2.56276300	3.93585200
H	5.88685000	-2.45925500	2.16911200
C	2.99395100	-1.80250100	3.72329800
H	3.24544800	-2.23345900	4.70435700
H	3.13068300	-0.71995800	3.75900600
H	1.94970700	-2.01765900	3.49619900
Cu	3.33606300	-1.45767200	0.74756400
C	1.11460100	3.22398200	1.18944600

C	2.34642300	2.57884200	1.17598900
C	2.45308600	1.20278700	1.49198000
C	1.25866000	0.53149300	1.82607600
C	0.02929900	1.18606800	1.84260000
C	-0.05850700	2.54033000	1.52381600
H	1.07066300	4.28030200	0.92968600
H	3.24384500	3.12795500	0.92922600
H	1.29009000	-0.53289400	2.02642600
H	-0.86597000	0.61898600	2.08381600
H	-1.01801600	3.05142100	1.52992600
N	3.64900300	0.47605200	1.37804100
C	4.83983600	1.14605600	1.59881200
O	4.92712100	2.25861500	2.16712300
C	6.04200800	0.47892300	1.12099400
C	7.38339700	1.06862000	1.37410400
H	8.01744300	0.38000900	1.95639200
H	7.92711700	1.25162500	0.43419000
H	7.28158800	2.01050000	1.91964900
H	5.96474400	-0.45384800	0.57189000
Br	5.32385400	-2.59476300	-0.58119100

Int-130-singlet

B3LYP-D3(BJ)/6-31G(d)·SCF energy: -4621.299015 a.u.

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to enthalpy: 0.577478
B3LYP-D3(BJ)/6-31G(d)·Thermal correction to Gibbs free energy: 0.475797
M06/6-311+G(d,p)·SCF energy in solution: -4623.198158 a.u.

C	0.29993300	-3.01059800	-1.35173100
C	1.44657100	-2.24530600	-1.35540700
C	1.35082900	-0.82102100	-1.38940100
C	0.05079000	-0.21830800	-1.42422500
C	-1.10635500	-1.03986400	-1.42635900
C	-0.98129800	-2.40840900	-1.38862000
H	0.40702700	-4.08874400	-1.32709800
C	-0.01331200	1.19732000	-1.42872000
H	-2.08587200	-0.56743000	-1.45003600
H	-1.86605100	-3.03933500	-1.38545600
C	1.14968800	1.92988700	-1.38789700
C	2.38447900	1.23736300	-1.33827900
H	-0.98628600	1.68334600	-1.45637600
H	1.13760000	3.01566600	-1.38266200
H	3.32233600	1.77978900	-1.25847200
N	2.48439400	-0.07550400	-1.34338800
S	3.05322100	-3.10727600	-1.22542900
O	2.65982400	-4.53270500	-1.31257100
O	3.90913800	-2.57082400	-2.29200200
N	3.65846400	-2.76551900	0.23271000
C	2.97482100	-3.45928400	1.34048600

C	3.51155000	-4.87583200	1.60492900
C	3.13014800	-2.65325100	2.64118100
H	1.89666700	-3.55290500	1.12042600
C	2.70389900	-5.55070800	2.72262200
H	4.56953900	-4.78892800	1.88220800
H	3.45135700	-5.45342200	0.68129400
C	2.22171600	-3.26151100	3.72044400
H	4.17092300	-2.75958700	2.96261200
C	2.67427200	-4.70412400	4.00275900
H	3.11142100	-6.54685200	2.93941900
H	1.67213200	-5.70545500	2.37098100
H	2.26867600	-2.67578200	4.64503100
H	1.17333800	-3.25942900	3.38824600
H	2.01969200	-5.16524700	4.75487900
H	3.68343600	-4.67130400	4.43549600
N	2.99257900	-1.18785500	2.40086700
C	3.39725500	-0.41540000	3.59038400
H	3.34487300	0.64731900	3.35685100
H	2.73014200	-0.61816400	4.44179500
H	4.42852400	-0.67328100	3.83755900
C	1.64595000	-0.78106800	1.97912100
H	0.92261700	-0.85856900	2.80577500
H	1.68041700	0.25793700	1.64153100
H	1.30454900	-1.40397500	1.15482300
Cu	4.40422700	-1.02649000	0.78450600
C	5.96219500	2.78532800	3.61242000
C	6.02637300	1.90363400	2.53758900
C	4.93862500	1.79842700	1.65168300
C	3.80507100	2.60049100	1.86668800
C	3.75099500	3.48683700	2.94227600
C	4.83021100	3.58086400	3.82317400
H	6.80093900	2.84546800	4.30185200
H	6.88137500	1.25688400	2.38792700
H	2.96879500	2.50547600	1.17994100
H	2.86464900	4.09890300	3.09510600
H	4.79107200	4.26584500	4.66676400
N	4.90397700	0.87347600	0.59821100
C	6.01033100	0.60257000	-0.16697900
O	7.04777400	1.24384900	-0.30388600
C	5.68303000	-0.72134500	-0.77213400
C	6.75363100	-1.73819100	-0.99386600
H	6.31150700	-2.70417000	-1.24264700
H	7.36165900	-1.40507400	-1.85124200
H	7.39919500	-1.82901100	-0.11872100

H	4.87462100	-0.71441100	-1.50128600
Br	6.49263100	-1.73279200	2.53833600

Int-131-singlet

B3LYP-D3(BJ)/6-31G(d)·SCF energy: -4621.250571 a.u.

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to enthalpy: 0.576151

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to Gibbs free energy: 0.472952

M06-2X/6-311+G(d,p)·SCF energy in solution: -4623.140723 a.u.

C	0.41862800	-2.68435400	-1.49614600
C	1.56388100	-1.91675700	-1.55841100
C	1.46688800	-0.49082600	-1.53754500
C	0.16308700	0.10318800	-1.45198000
C	-0.98994900	-0.72089400	-1.38847000
C	-0.86224700	-2.08914900	-1.40976500
H	0.52829200	-3.76201400	-1.52526400
C	0.08661100	1.51755100	-1.41474600
H	-1.96800200	-0.24956400	-1.31858900
H	-1.74310300	-2.72388200	-1.36061600
C	1.24234300	2.25869400	-1.45446800
C	2.48120200	1.57591600	-1.52744000
H	-0.88993300	1.99314400	-1.34934000
H	1.22434300	3.34397600	-1.42068800
H	3.41236900	2.13632700	-1.53716500
N	2.59660000	0.26486000	-1.57037800
S	3.16496400	-2.79834500	-1.54227600
O	2.75613500	-4.20694800	-1.76256700
O	4.02464400	-2.17392700	-2.54961200
N	3.77035500	-2.60151100	-0.05843100
C	3.05608200	-3.35329500	0.99058900
C	3.50573700	-4.81743700	1.13200800
C	3.27847000	-2.67738300	2.35474100
H	1.97030500	-3.36262500	0.78420100
C	2.66672900	-5.52492100	2.20713900
H	4.56985400	-4.81720800	1.39862200
H	3.39858900	-5.31570000	0.16749400
C	2.35069600	-3.30158500	3.40360500
H	4.31652000	-2.87438900	2.64071400
C	2.70833700	-4.79019600	3.55540300
H	3.00768400	-6.56079500	2.33527500
H	1.62243900	-5.58114500	1.86249100
H	2.46097900	-2.79261900	4.36880300
H	1.29860800	-3.19877300	3.09978000
H	2.03362600	-5.27081400	4.27710400
H	3.72284400	-4.86033600	3.97061700
N	3.23037000	-1.19816500	2.22597400
C	3.75798200	-0.49885900	3.41079200
H	3.85600900	0.56064300	3.16333300
H	3.08203300	-0.61272000	4.27284600
H	4.74854300	-0.90010800	3.63524600

C	1.91737600	-0.65500000	1.85245800
H	1.21854300	-0.68770100	2.70239100
H	2.04740400	0.38170800	1.53217300
H	1.49640700	-1.22572900	1.02692700
Cu	4.54988300	-0.90281500	0.66628400
C	6.49144800	-0.43303600	-4.14174600
C	5.97346900	0.08217400	-2.96094100
C	6.28669700	-0.53310900	-1.73220000
C	7.06652100	-1.71075700	-1.71651500
C	7.56732500	-2.22099100	-2.90457600
C	7.28937700	-1.58156500	-4.11903300
H	6.24435200	0.03681700	-5.09074300
H	5.29601700	0.92751900	-2.96861000
H	7.24455100	-2.17657800	-0.75077800
H	8.16828900	-3.12643600	-2.89282900
H	7.67302600	-1.99246300	-5.04986200
N	5.87755200	-0.07073500	-0.50317000
C	5.41951700	1.19769900	-0.21976400
O	4.49751600	1.12767800	0.71411100
C	5.94042300	2.37076200	-0.72438800
C	5.67545600	3.68047500	-0.05343700
H	4.94466000	4.30284200	-0.59834700
H	5.25813700	3.50317600	0.94426300
H	6.58947500	4.28428800	0.04023700
H	6.61105300	2.32684900	-1.57425600
Br	6.68323200	-2.09542300	2.16869600

Int-132-singlet

B3LYP-D3(BJ)/6-31G(d)·SCF energy: -4621.284884 a.u.

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to enthalpy: 0.577271

B3LYP-D3(BJ)/6-31G(d)·Thermal correction to Gibbs free energy: 0.474312

M06/6-311+G(d,p)·SCF energy in solution: -4623.179483 a.u.

C	0.34151600	-2.58503700	-1.38373100
C	1.61252000	-2.05797700	-1.30364200
C	1.79595400	-0.64204000	-1.29054100
C	0.64151600	0.20245600	-1.37196600
C	-0.64991700	-0.37735200	-1.46877200
C	-0.79467900	-1.74449400	-1.46926700
H	0.23676400	-3.66374900	-1.38755300
C	0.85057700	1.60344500	-1.32027400
H	-1.51617200	0.27748300	-1.53025900
H	-1.78315300	-2.19083600	-1.53503400
C	2.12582000	2.09624500	-1.17539100
C	3.19977000	1.17751700	-1.08220200
H	-0.00759000	2.26898800	-1.38375500
H	2.32036500	3.16273800	-1.11870500
H	4.21538800	1.52641900	-0.91532500

N	3.04617100	-0.12960000	-1.14659300
S	3.01565900	-3.22422300	-1.18118600
O	2.34893600	-4.54414500	-1.23964200
O	3.93724200	-2.86510200	-2.26778100
N	3.71940300	-3.01135500	0.25782800
C	2.93438300	-3.50731900	1.40903900
C	3.18546100	-4.99006300	1.72092500
C	3.29221500	-2.69370800	2.66672500
H	1.85514200	-3.38849900	1.20945000
C	2.31584200	-5.44045900	2.90408900
H	4.25151400	-5.10880800	1.95078600
H	2.96504400	-5.58046200	0.82945300
C	2.34618400	-3.06760400	3.81427400
H	4.31130400	-2.97775200	2.94921600
C	2.52630400	-4.55900100	4.14394400
H	2.52377800	-6.49002200	3.14941900
H	1.25678400	-5.39350000	2.60649600
H	2.56293200	-2.46045500	4.70126500
H	1.30021600	-2.87365700	3.53498900
H	1.83861200	-4.85520100	4.94754500
H	3.54587800	-4.70955600	4.52340500
N	3.40815800	-1.24458300	2.34456300
C	4.07984100	-0.47297500	3.40656600
H	4.30339700	0.52391100	3.01797200
H	3.44056300	-0.38079800	4.29856600
H	5.02407900	-0.96397500	3.65021700
C	2.12899200	-0.61168000	2.00353800
H	1.48782100	-0.50215400	2.89166900
H	2.32098000	0.38075500	1.58860600
H	1.59805800	-1.20402000	1.26031600
Cu	4.74486600	-1.43729300	0.70650300
C	8.75353100	2.21900700	2.75248200
C	8.21329300	1.24203600	1.92090400
C	8.17701500	1.44289100	0.52530200
C	8.70656300	2.63340200	0.00137800
C	9.23655100	3.61020400	0.84461700
C	9.26332400	3.41140300	2.22630500
H	8.77762800	2.04644700	3.82659900
H	7.82902900	0.30840600	2.32337900
H	8.69416300	2.77322900	-1.07635800
H	9.63683400	4.52816900	0.41801000
H	9.68244500	4.16961300	2.88381700
N	7.71669900	0.44733200	-0.35083400
C	6.57520900	-0.09815300	-0.14109000

O	5.63845700	0.23192300	0.74084900
C	6.05203600	-1.30194800	-0.83379000
C	6.90820200	-2.50667300	-1.05110100
H	6.30849700	-3.33789700	-1.42448300
H	7.66473700	-2.24164300	-1.80805900
H	7.42471000	-2.78912000	-0.13126400
H	5.27668000	-1.11305300	-1.57390400
Br	6.77743300	-2.54940400	2.51331600

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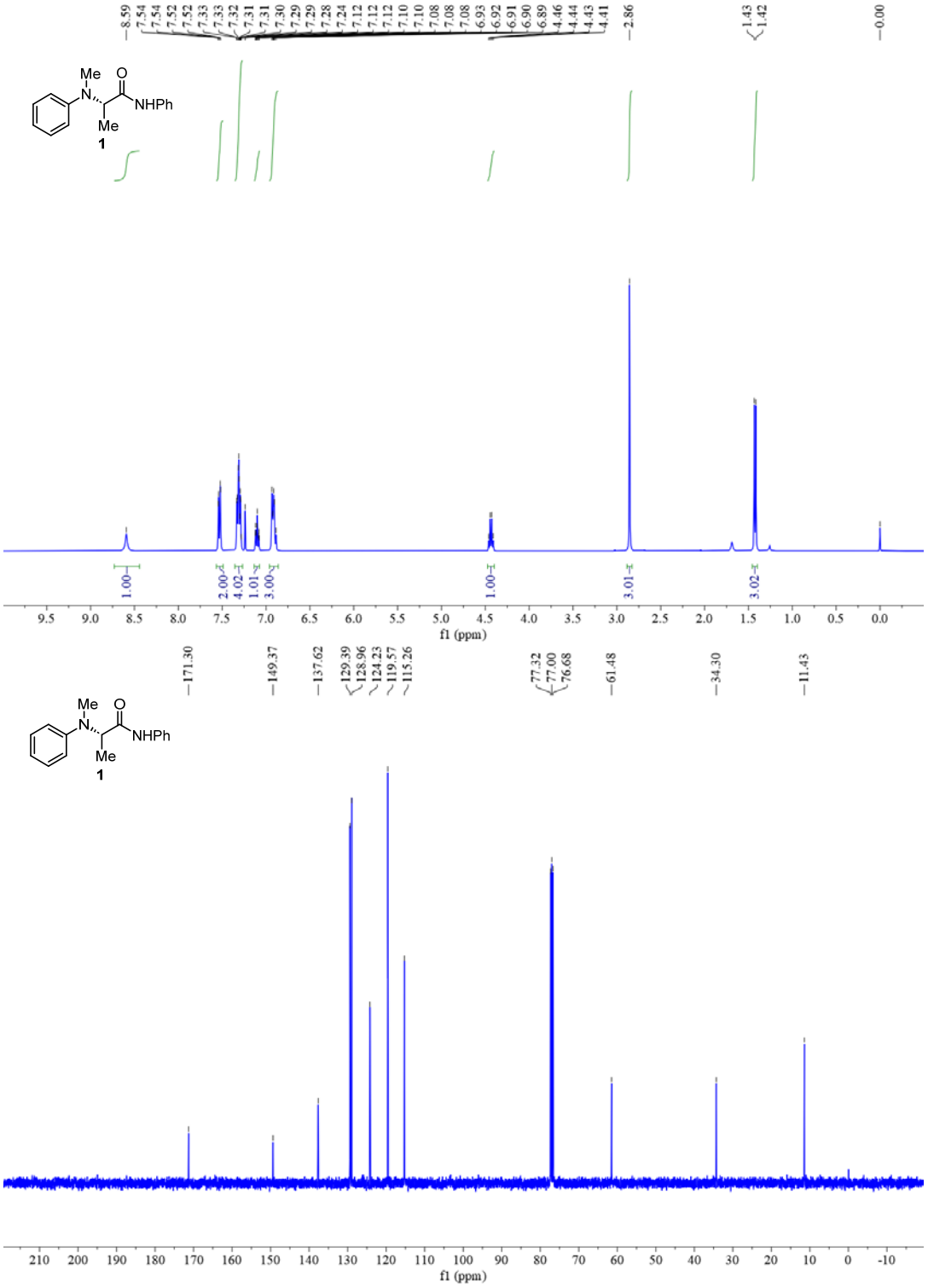
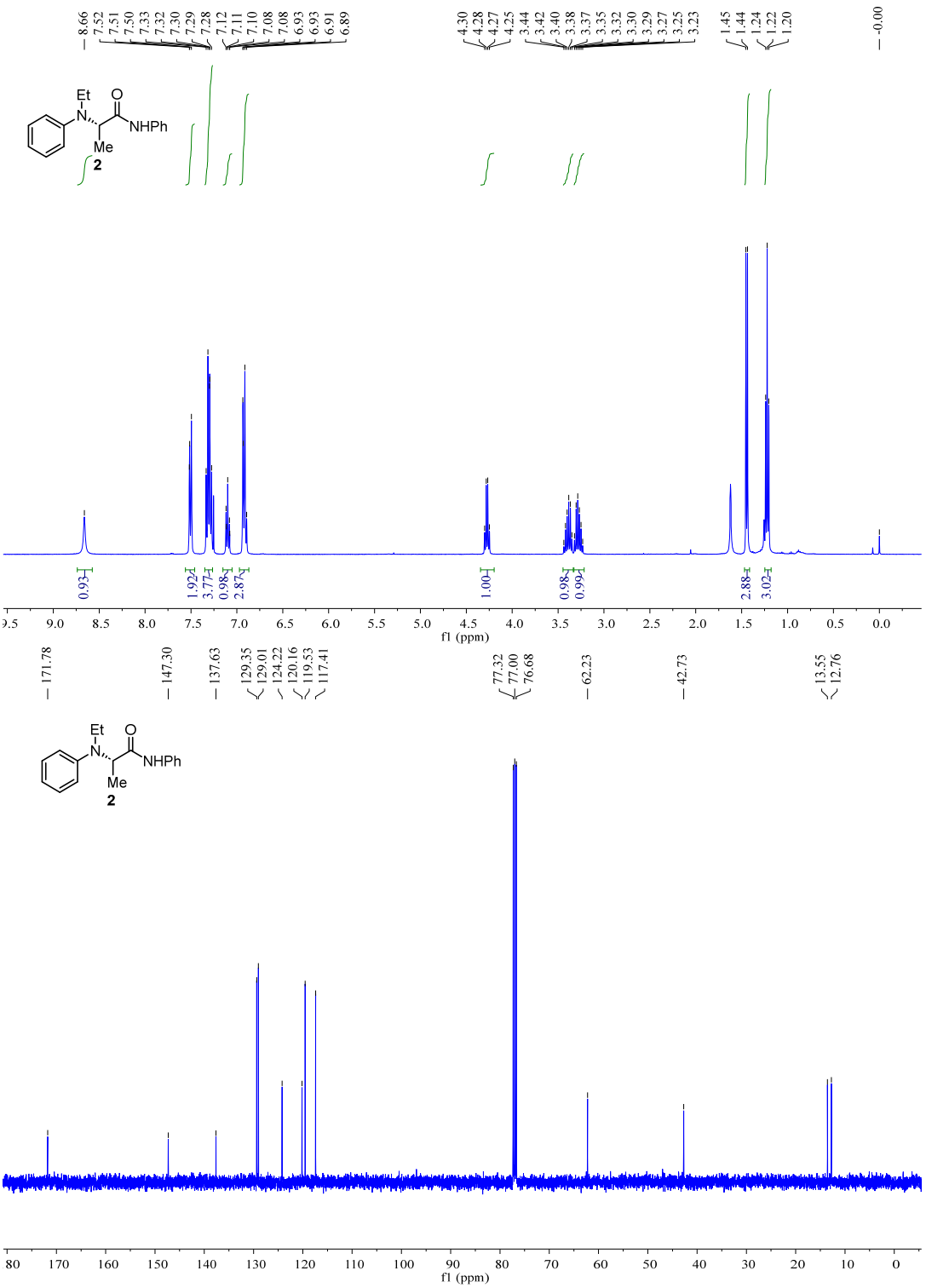
10. NMR spectra

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7.08
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6.93
6.91
6.89
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4.28
4.27
4.25
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3.42
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3.32
3.30
3.29
3.27
3.25
3.23
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1.44
1.24
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Et
N
O
NHPh
Me
2
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1.92
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2.87
1.00
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3.02
f1 (ppm)
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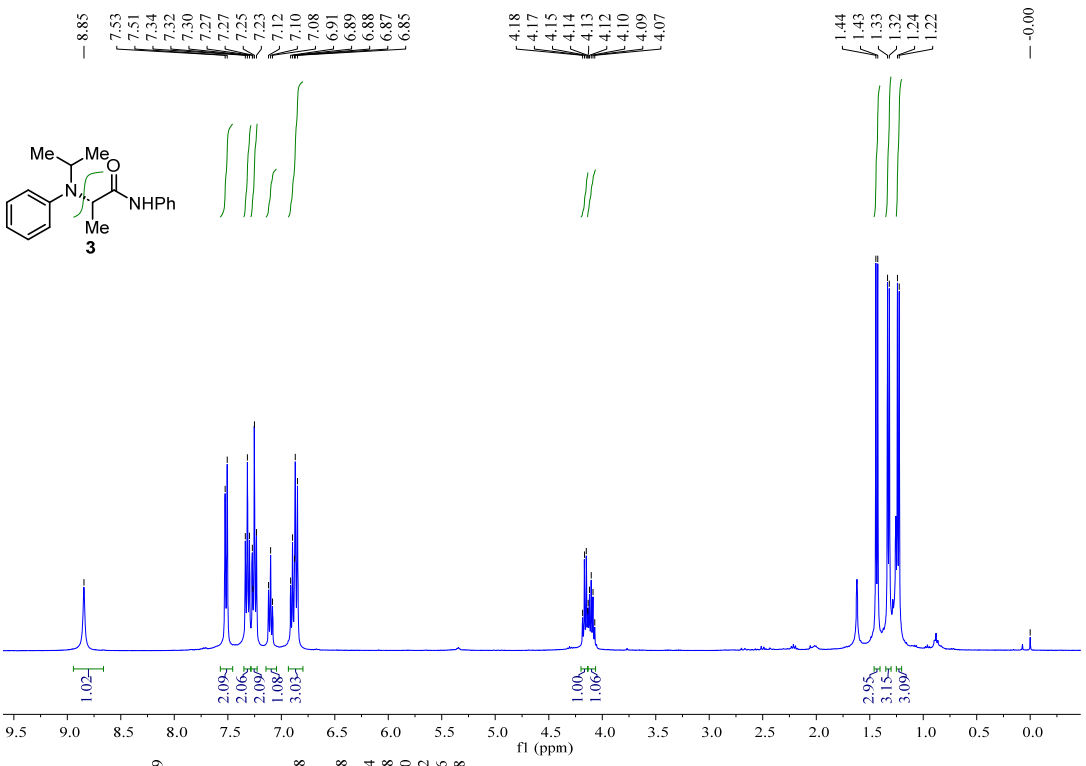
f1 (ppm)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6.89
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6.87
6.85
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4.13
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4.09
4.07
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1.43
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1.24
1.22
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Me
O
N
NHPh
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2.09
2.06
2.09
1.08
3.03
1.00
1.06
2.95
3.15
3.09
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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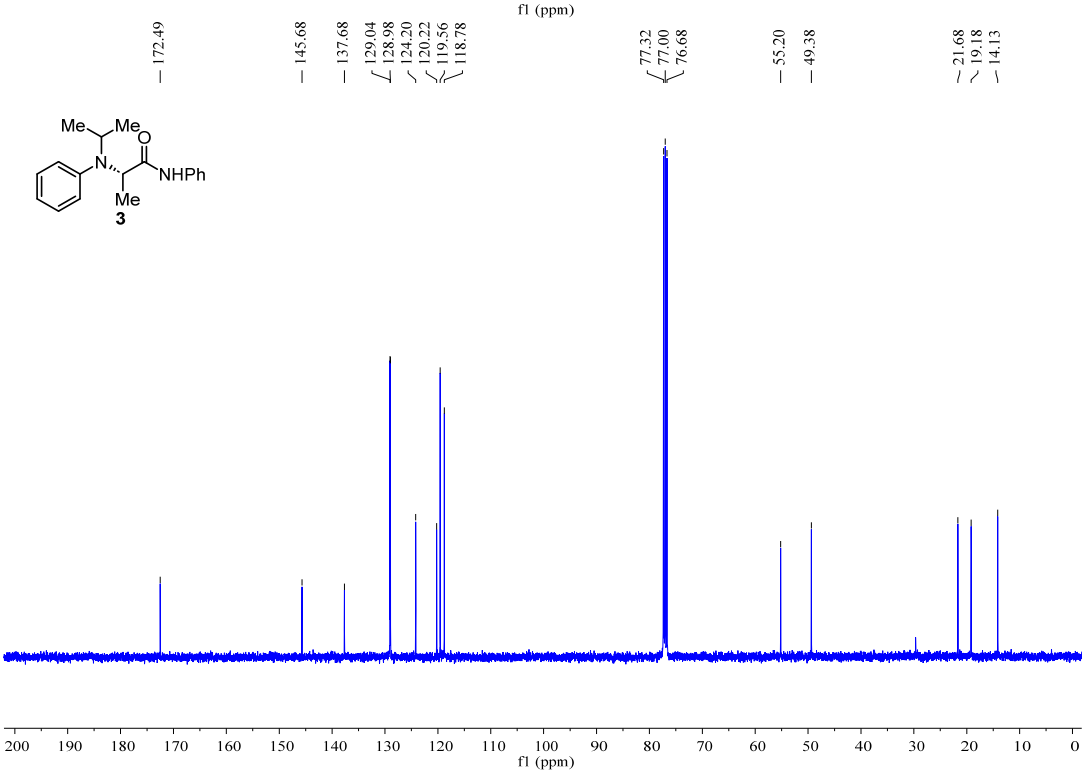
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21.68
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Me
O
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NHPh
Me
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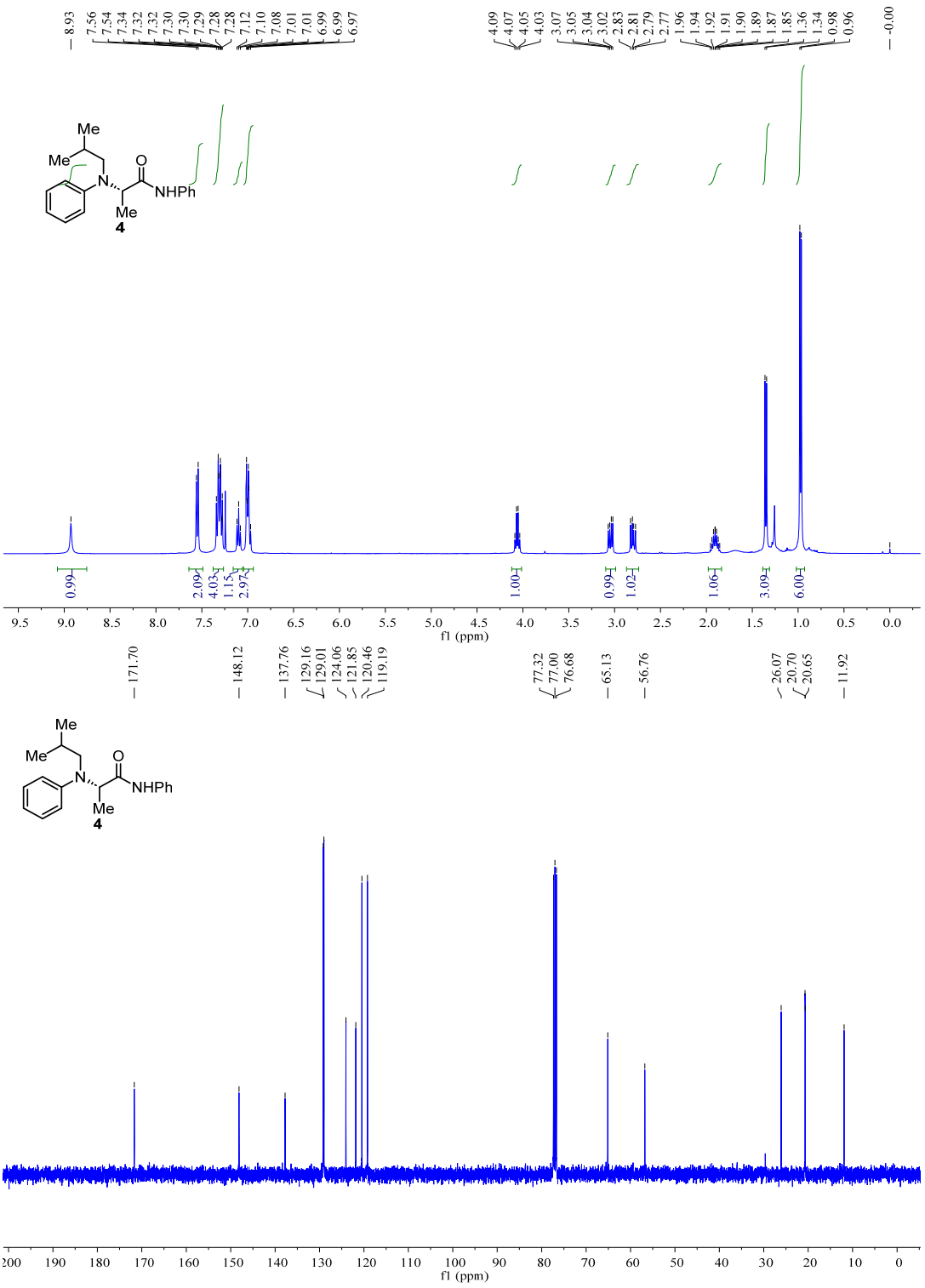
f1 (ppm)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1.92
1.91
1.90
1.89
1.87
1.85
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0.96
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Me
N
O
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f1 (ppm)
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129.01
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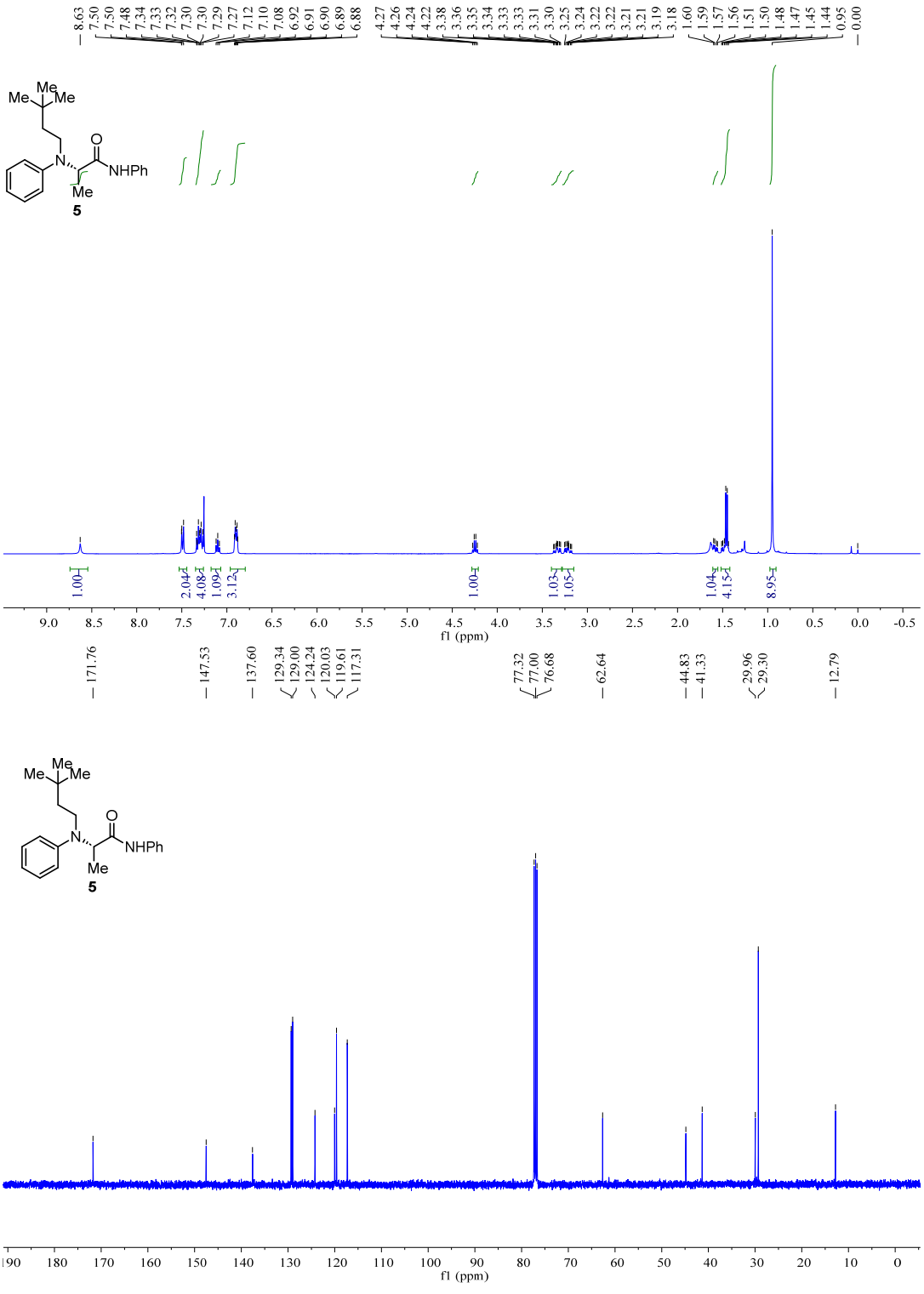
f1 (ppm)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4.24
4.22
3.38
3.36
3.35
3.34
3.33
3.33
3.31
3.30
3.25
3.24
3.22
3.22
3.21
3.21
3.19
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1.59
1.57
1.56
1.51
1.50
1.48
1.47
1.45
1.44
0.95
0.00
Me
Me
Me
N
O
NHPh
Me
5
1.00
2.04
4.08
1.09
3.12
1.00
1.03
1.05
1.04
4.15
8.95
f1 (ppm)
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147.53
137.60
129.34
129.00
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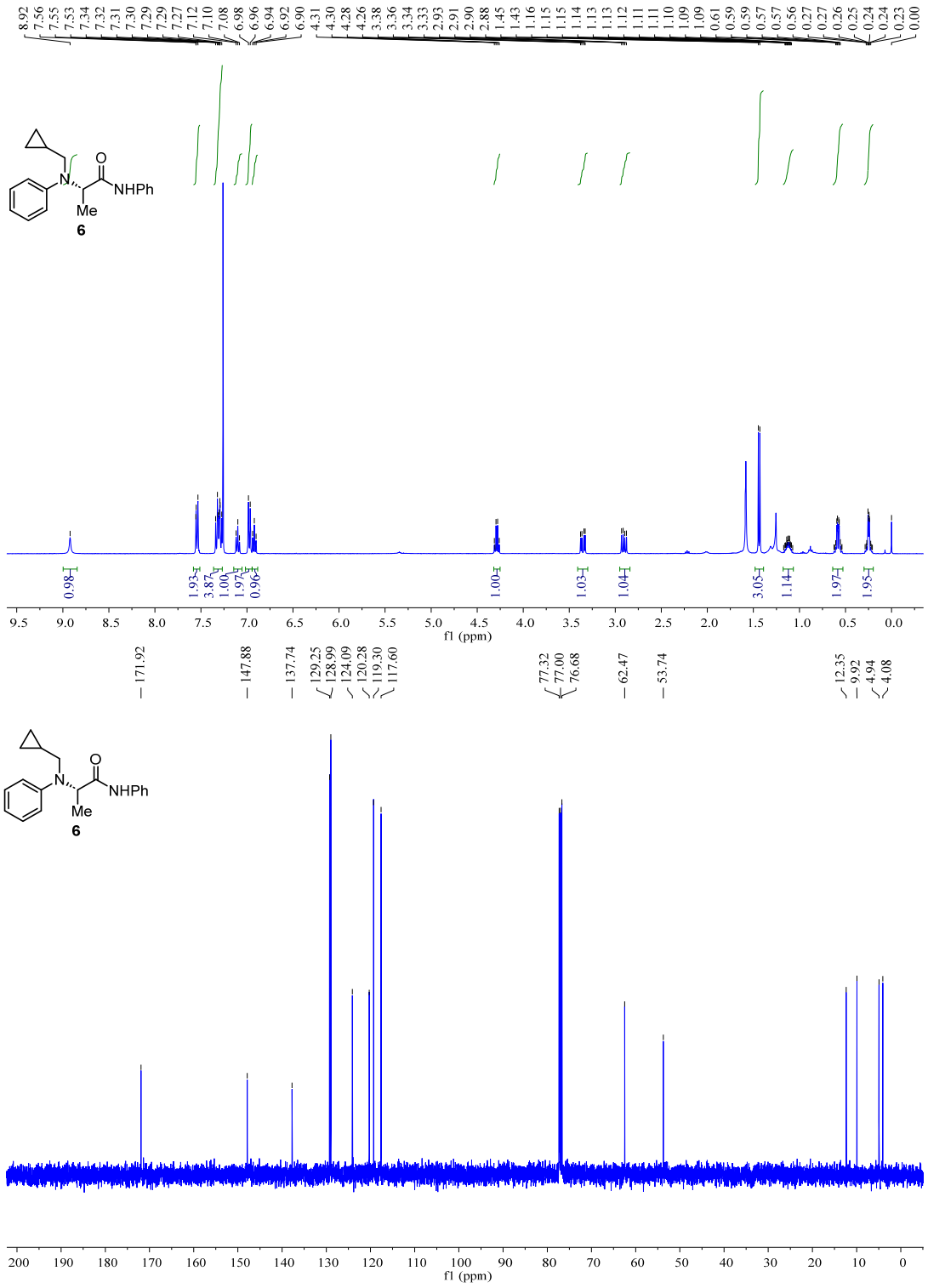
f1 (ppm)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O
N
NHPh
Me
6
0.98 1.93 3.87 1.00 1.97 0.96 1.00 1.03 1.04 3.05 1.14 1.97 1.95
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
171.92 147.88 137.74 129.25 128.99 124.09 120.28 119.30 117.60 77.32 77.00 76.68 62.47 53.74 12.35 9.92 4.94 4.08
O
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NHPh
Me
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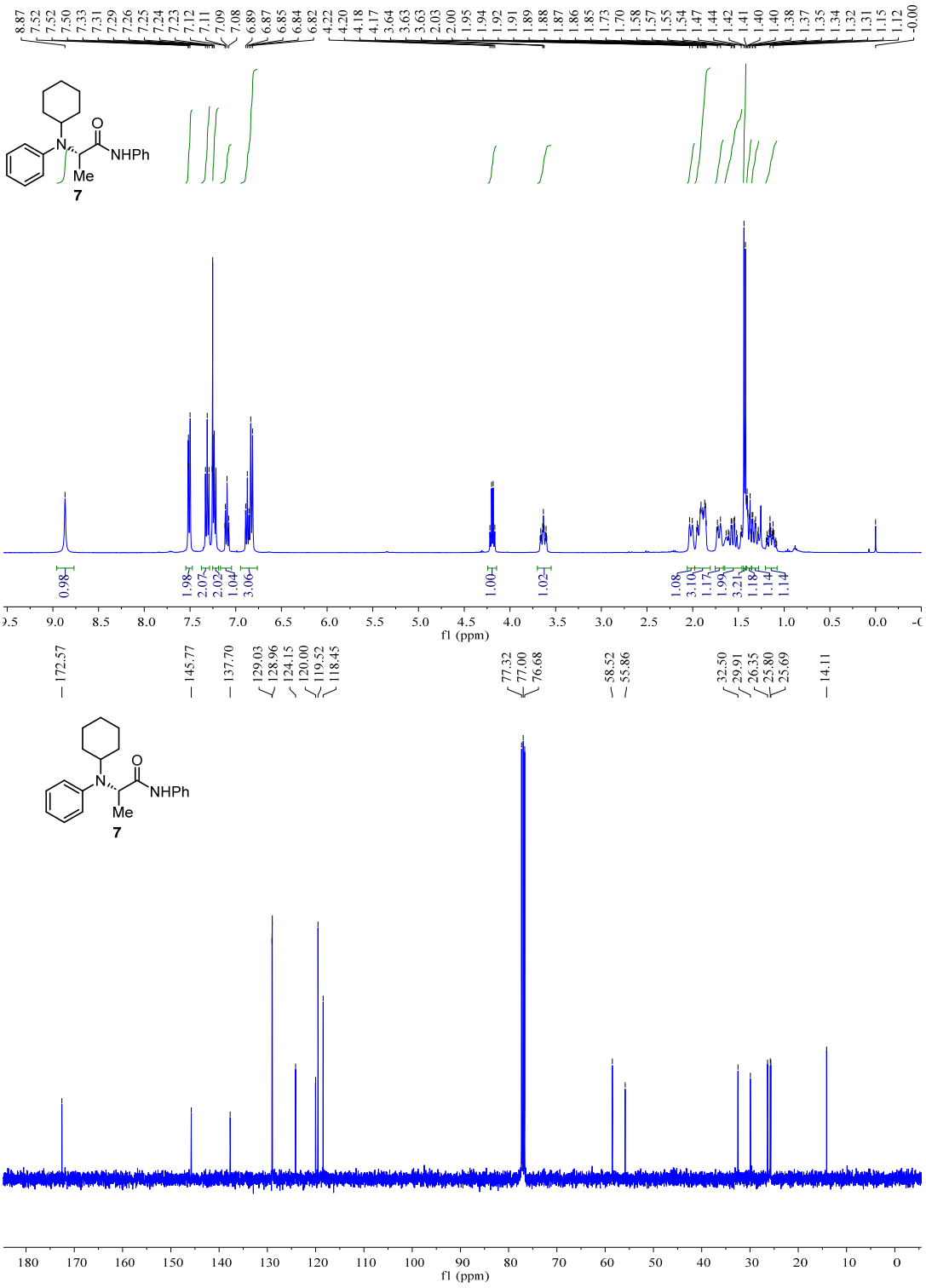
f1 (ppm)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Me
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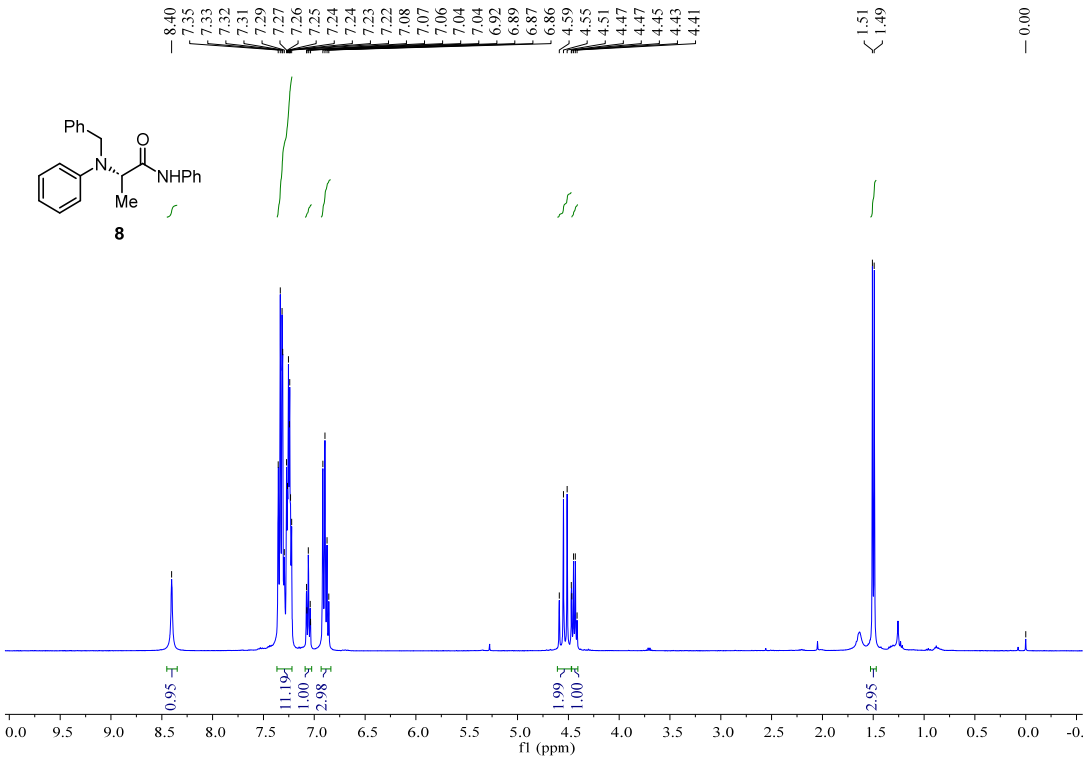
f1 (ppm)
NHPh
Me
7
f1 (ppm)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7.22
7.08
7.07
7.06
7.04
7.04
6.92
6.89
6.87
6.86
4.59
4.55
4.51
4.47
4.47
4.45
4.43
4.41
1.51
1.49
0.00
Ph
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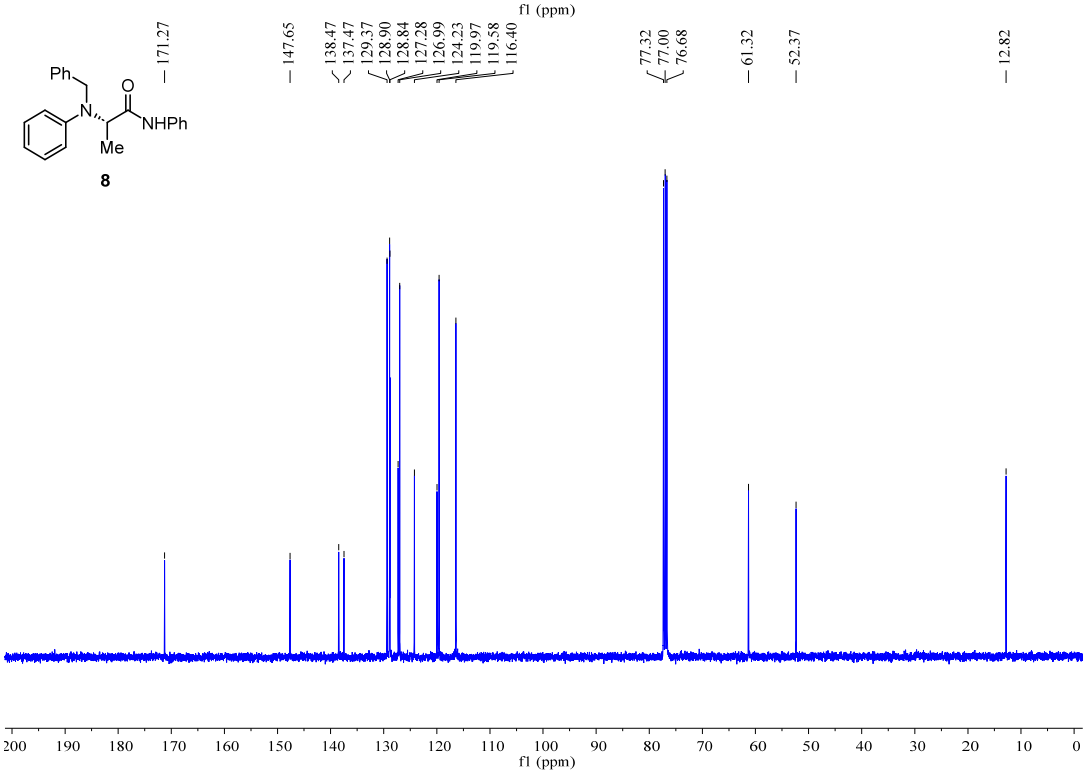
O
NHPh
Me
8
0.95
11.19
1.00
2.98
1.99
1.00
2.95
fl (ppm)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Ph
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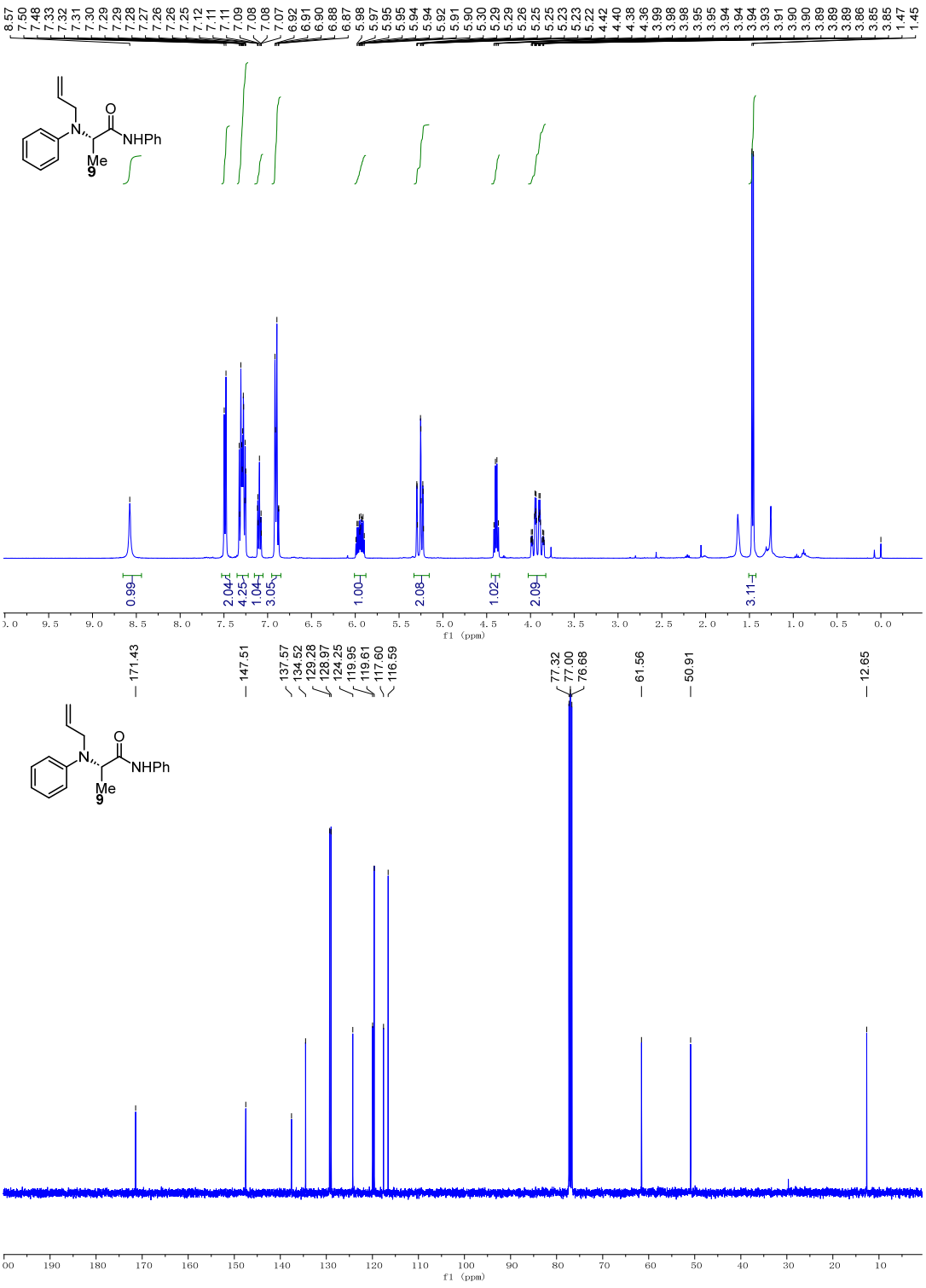
NHPh
Me
8
fl (ppm)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5.98 5.97 5.95 5.95 5.94 5.94 5.92 5.91 5.90 5.30 5.29 5.29 5.26 5.25 5.25 5.23 5.23 5.22 4.42 4.40 4.38 4.36 3.99 3.98 3.98 3.95 3.95 3.94 3.94 3.94 3.93 3.91 3.90 3.90 3.89 3.89 3.89 3.86 3.85 3.85 1.47 1.45
NHPh
Me
9
0.99
2.04
4.25
1.04
3.05
1.00
2.08
1.02
2.09
3.11
f1 (ppm)
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147.51
137.57
134.52
129.28
128.97
124.25
119.95
119.61
117.60
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76.68
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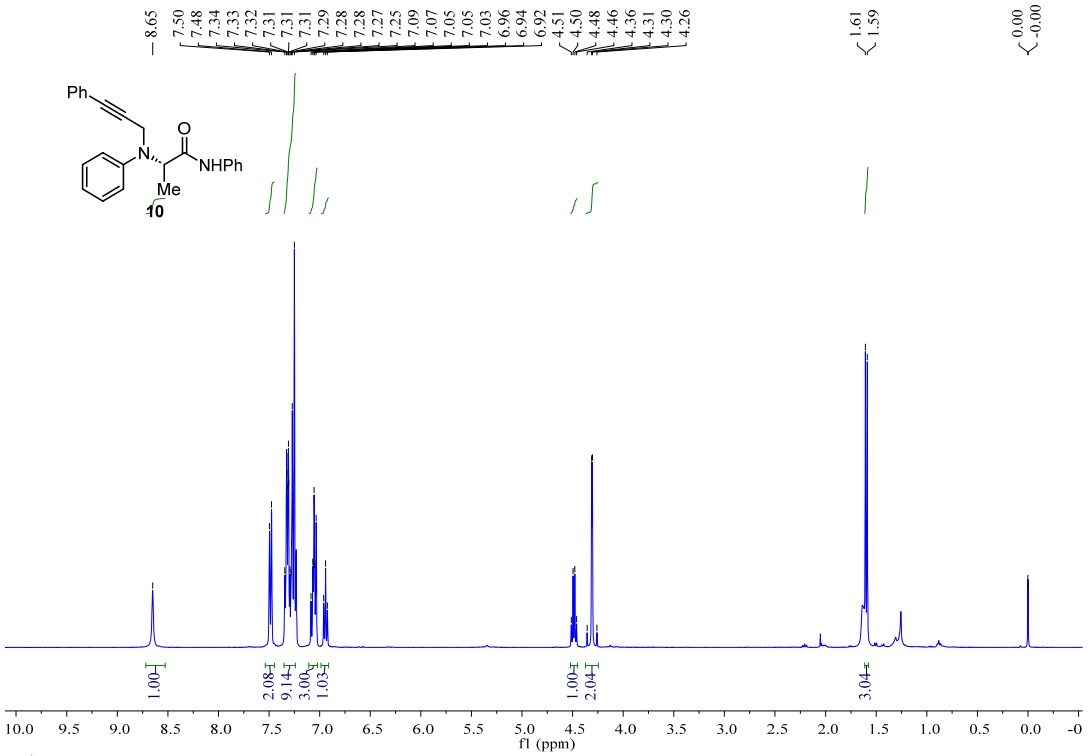
NHPh
Me
9
f1 (ppm)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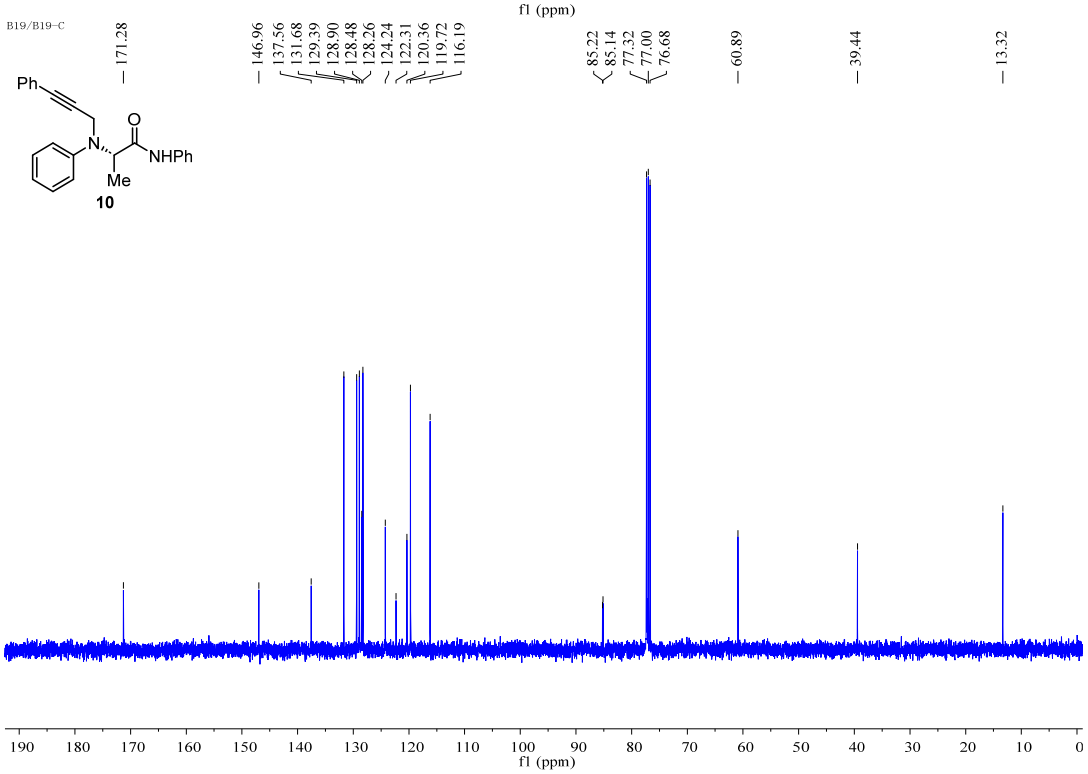
7.27
7.25
7.09
7.07
7.05
7.05
7.03
6.96
6.94
6.92
4.51
4.50
4.48
4.46
4.36
4.31
4.30
4.26
1.61
1.59
0.00
-0.00
Ph
N
O
NHPh
Me
10
1.00
2.08
9.14
3.00
1.03
1.00
2.04
3.04
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0
f1 (ppm)


B19/B19-C
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124.24
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119.72
116.19
85.22
85.14
77.32
77.00
76.68
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O
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Me
10
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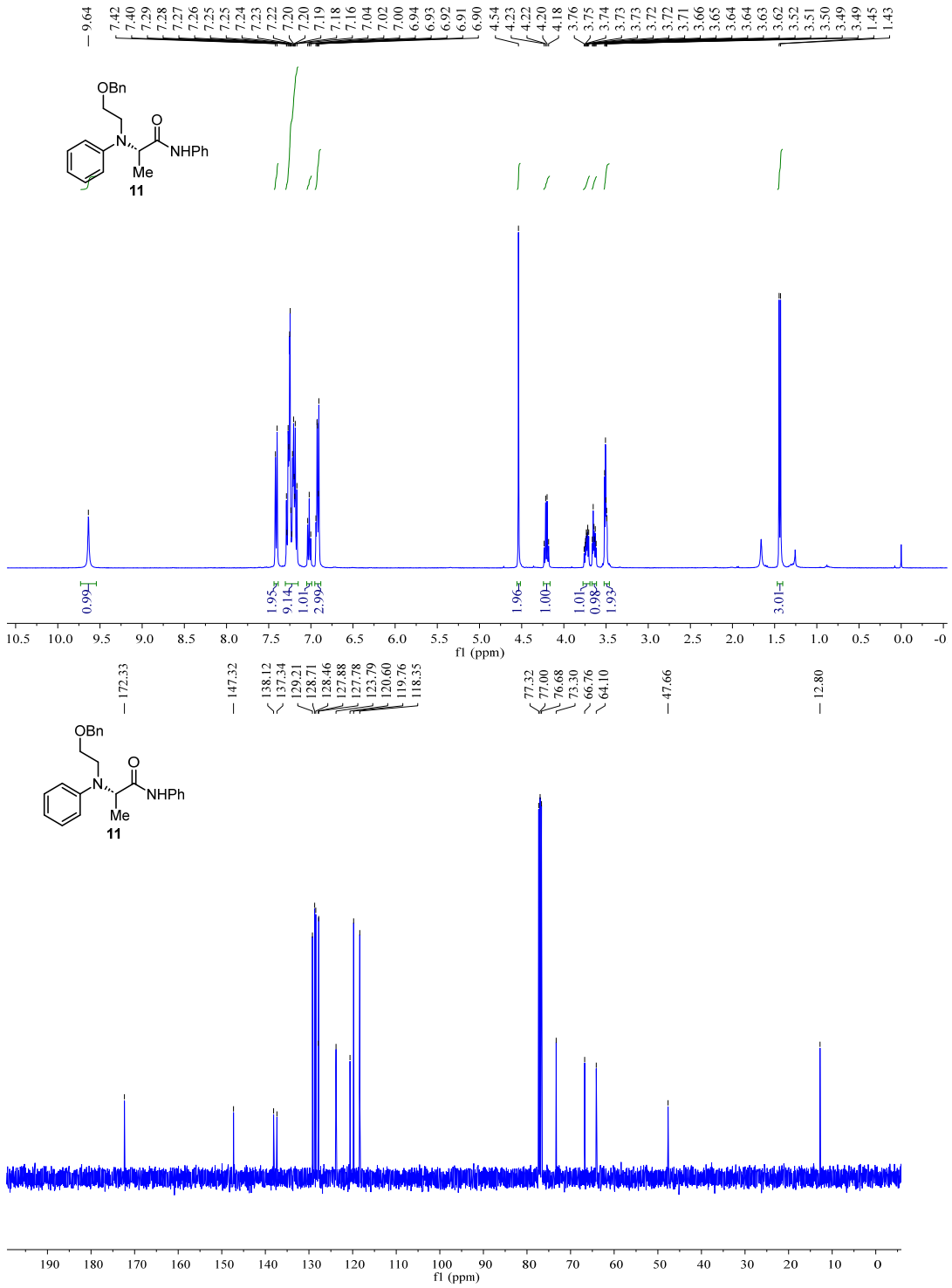
f1 (ppm)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7.18
7.16
7.04
7.02
7.00
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6.93
6.92
6.91
6.90
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4.23
4.22
4.20
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3.75
3.74
3.73
3.73
3.72
3.72
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3.62
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3.50
3.49
3.49
1.45
1.43
OBn
O
N
NHPh
Me
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1.95
9.14
1.01
2.99
1.96
1.00
1.01
0.98
1.93
3.01
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 -0
f1 (ppm)
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127.78
123.79
120.60
119.76
118.35
77.32
77.00
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73.30
66.76
64.10
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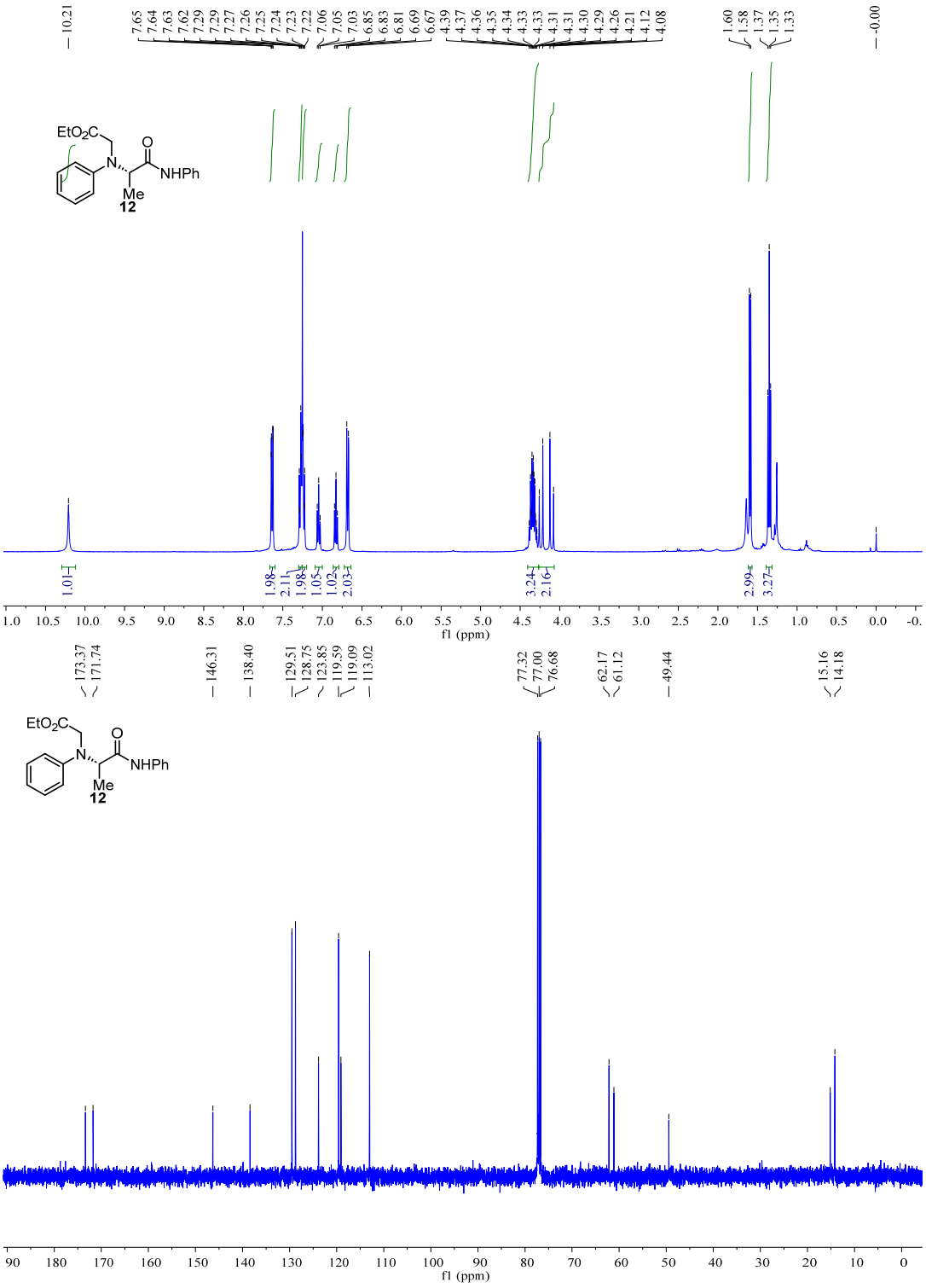
f1 (ppm)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7.06
7.05
7.03
6.85
6.83
6.81
6.69
6.67
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4.37
4.36
4.35
4.34
4.33
4.33
4.31
4.31
4.30
4.29
4.26
4.21
4.12
4.08
1.60
1.58
1.37
1.35
1.33
-0.00
EtO2C
N
O
NHPh
Me
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1.98
2.11
1.98
1.05
1.02
2.03
3.24
2.16
2.99
3.27
f1 (ppm)
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129.51
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123.85
119.59
119.09
113.02
77.32
77.00
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N
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NHPh
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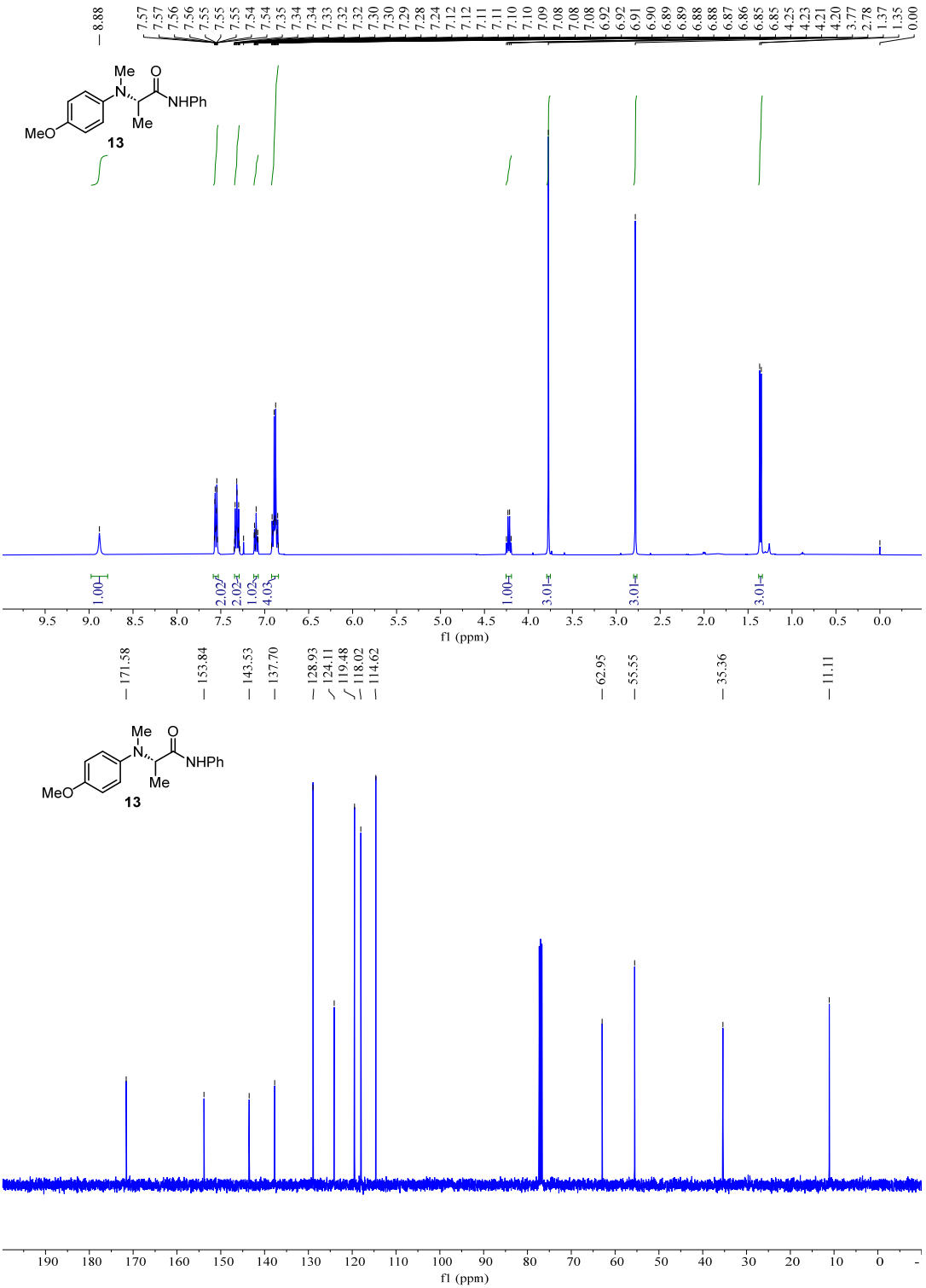
f1 (ppm)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7.12
7.11
7.10
7.09
7.08
6.92
6.91
6.90
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6.87
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4.21
4.20
3.77
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1.35
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NHPh
Me
MeO
13
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2.02
1.02
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3.01
3.01
3.01
f1 (ppm)
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143.53
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128.93
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MeO
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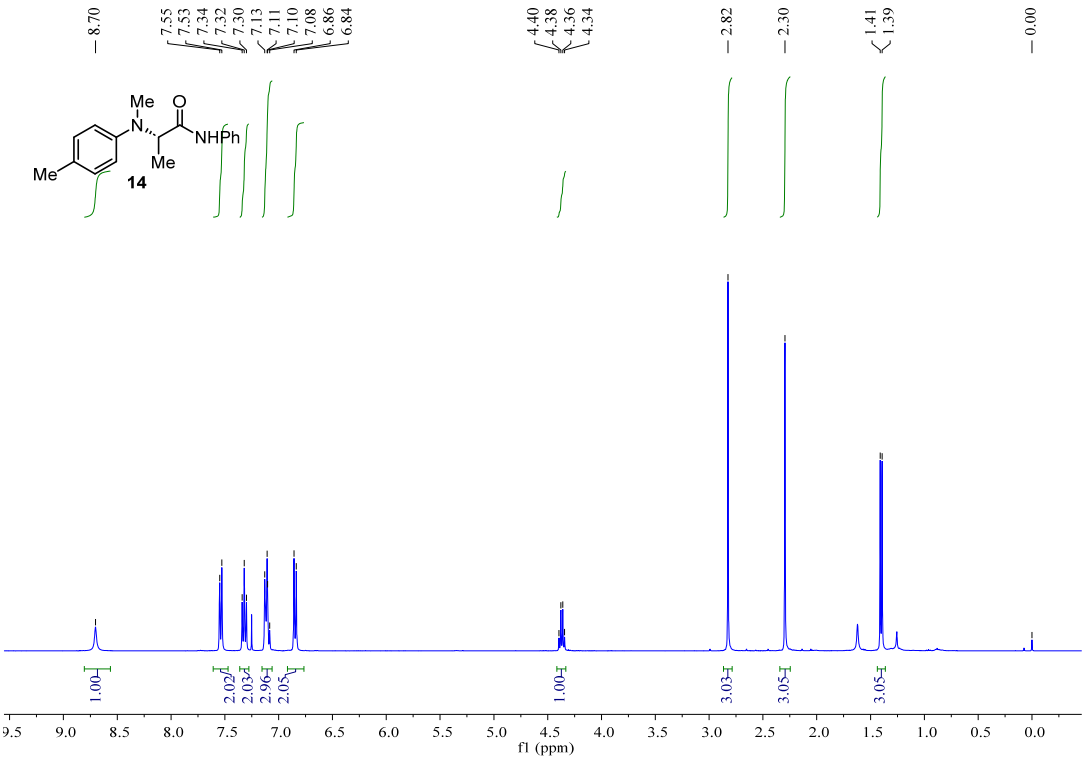
f1 (ppm)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4.40
4.38
4.36
4.34
2.82
2.30
1.41
1.39
0.00
Me
N
O
NHPh
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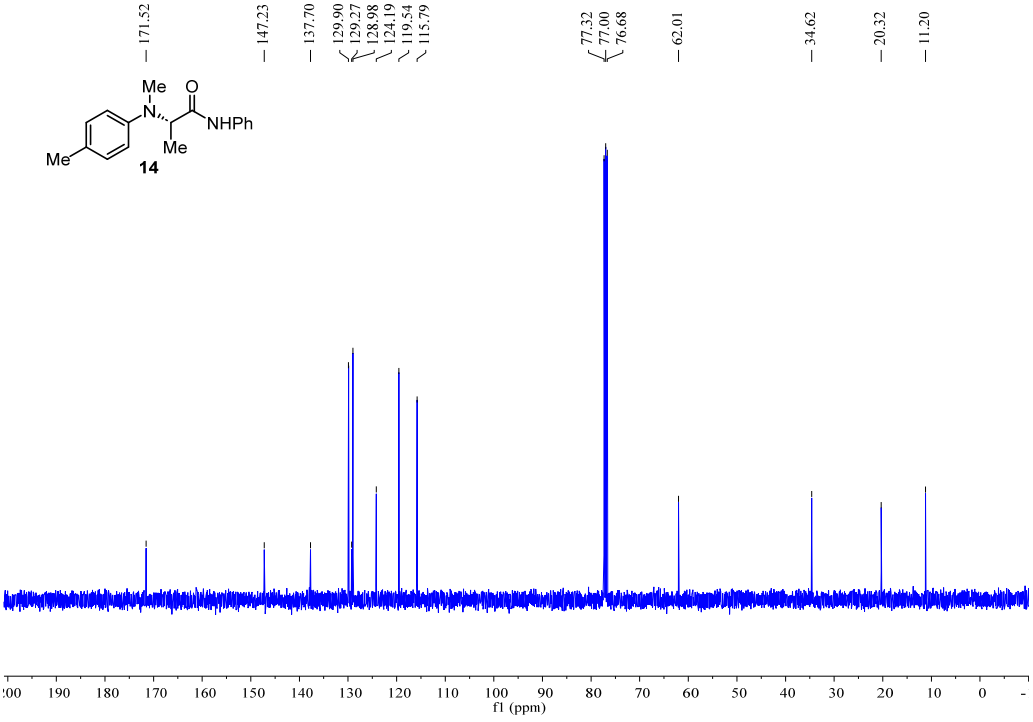
f1 (ppm)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O
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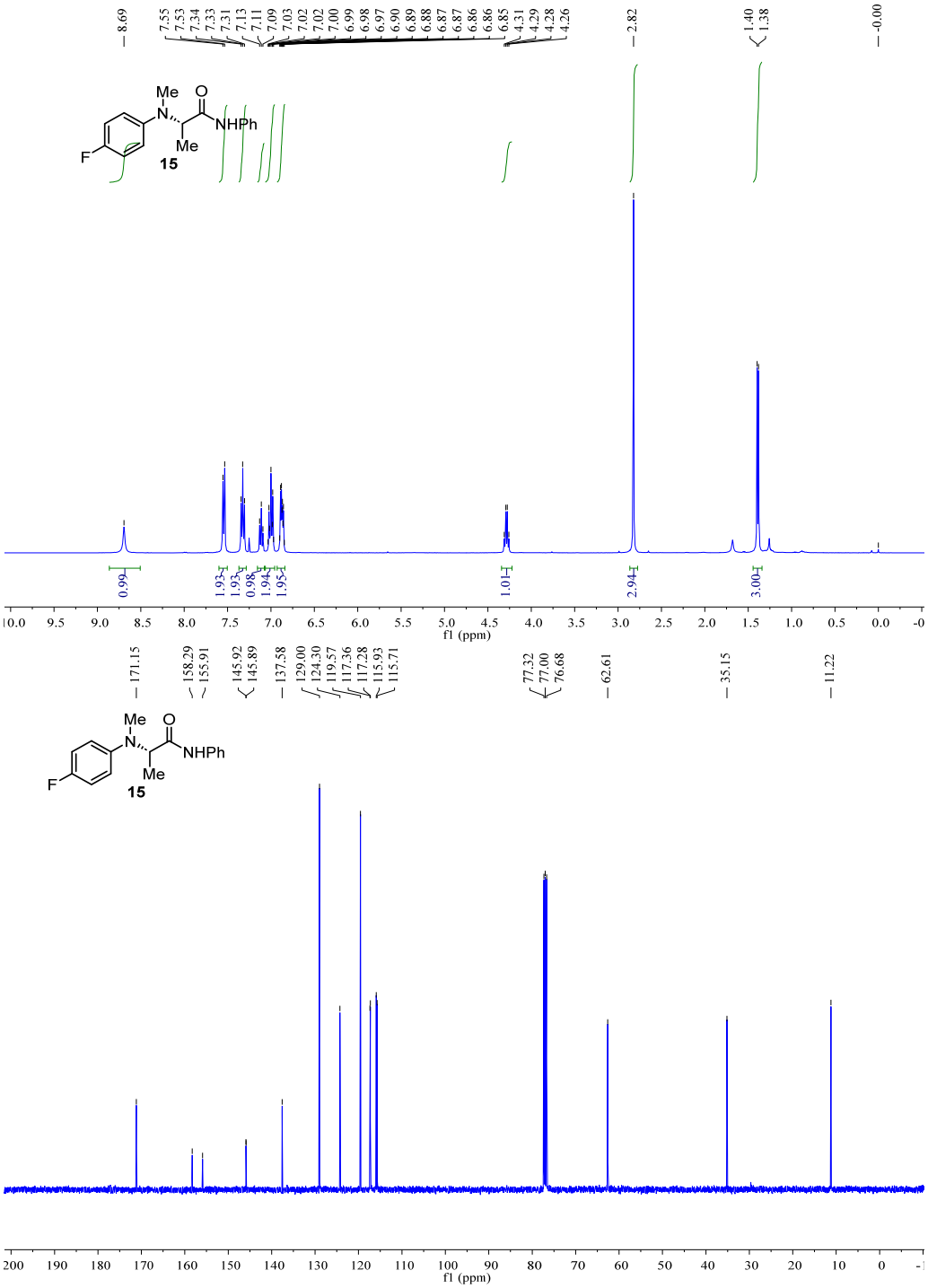
14
f1 (ppm)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4.29
4.28
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1.38
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NHPh
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F
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0.99
1.93
1.93
0.98
1.94
1.95
1.01
2.94
3.00
fl (ppm)
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158.29
155.91
145.92
145.89
137.58
129.00
124.30
119.57
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62.61
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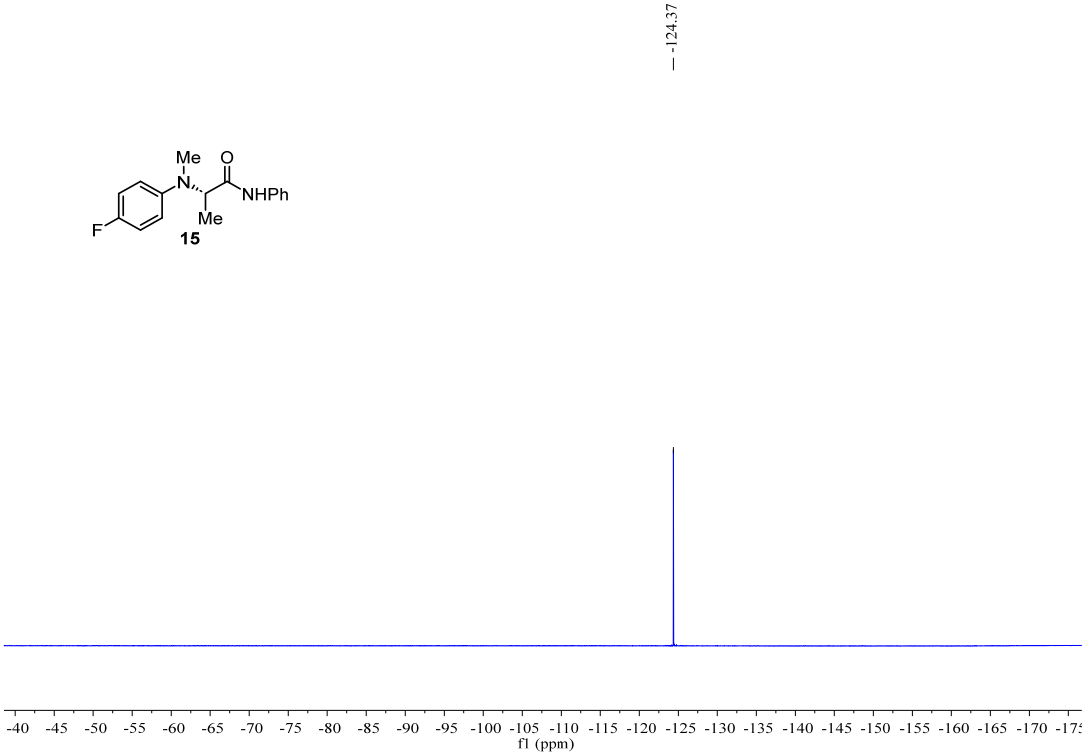
11.22
fl (ppm)

Me
O
N
NHPh
Me
F

15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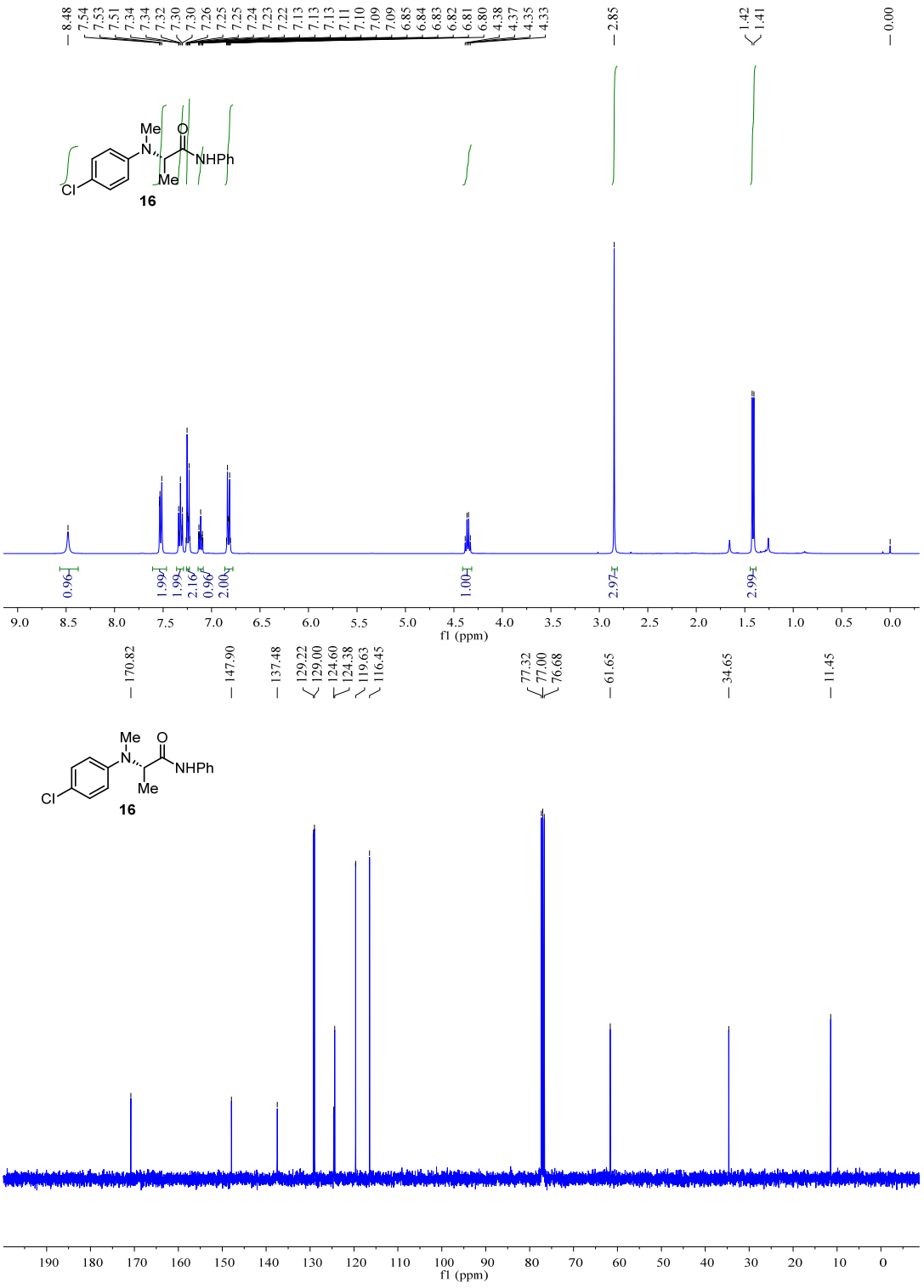
-40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135 -140 -145 -150 -155 -160 -165 -170 -175
f1 (ppm)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7.13
7.13
7.11
7.10
7.09
7.09
6.85
6.84
6.83
6.82
6.81
6.80
4.38
4.37
4.35
4.33
2.85
1.42
1.41
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Me
N
O
NHPh
Me
Cl
16
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1.99
1.99
2.16
0.96
2.00
1.00
2.97
2.99
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)
170.82
147.90
137.48
129.22
129.00
124.60
124.38
119.63
116.45
77.32
77.00
76.68
61.65
34.65
11.45
Me
N
O
NHPh
Me
Cl
16
190
180
170
160
150
140
130
120
110
100
90
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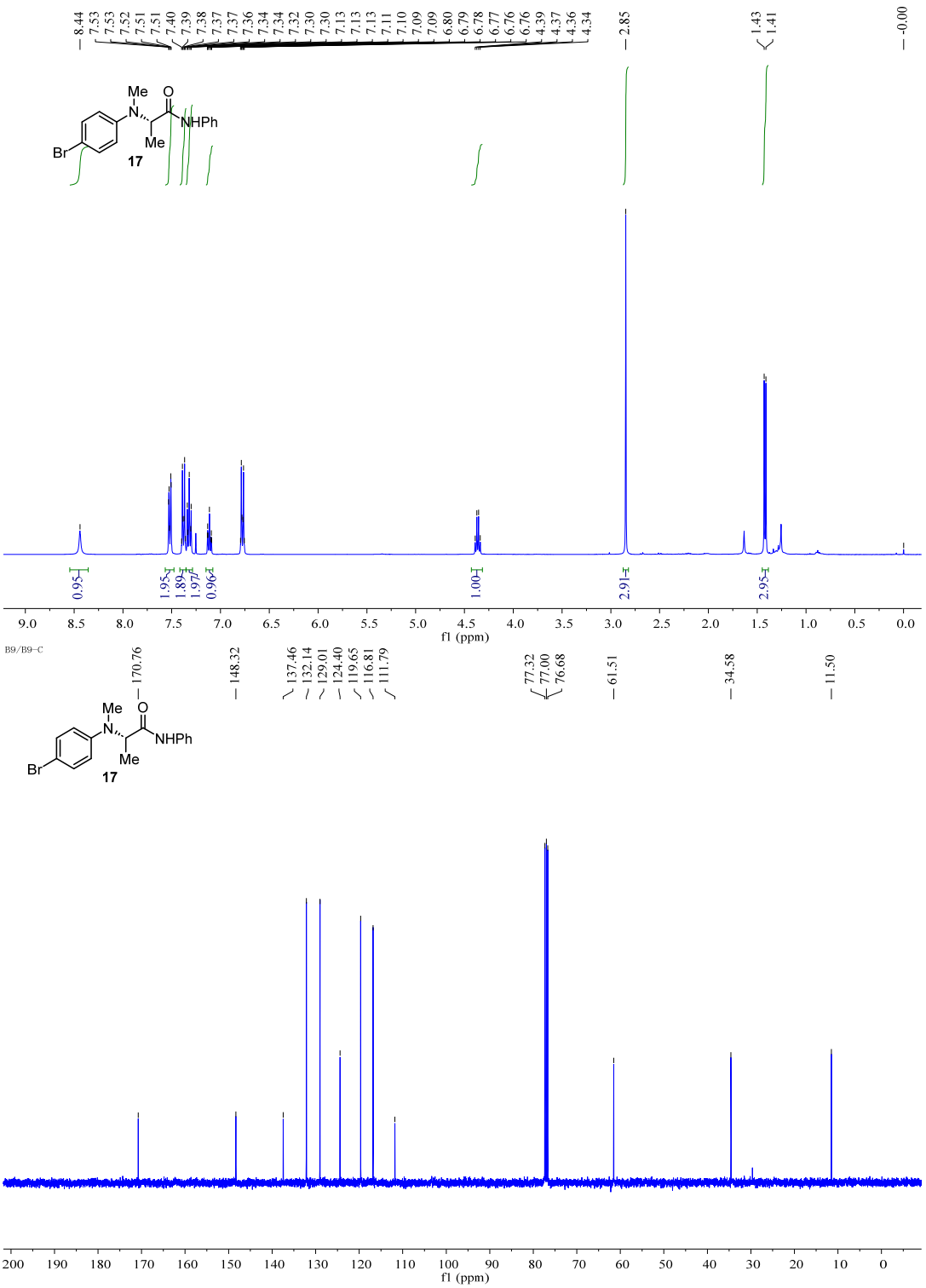
70
60
50
40
30
20
10
0
f1 (ppm)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7.37
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7.36
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7.34
7.32
7.30
7.30
7.13
7.13
7.13
7.11
7.10
7.09
7.09
6.80
6.79
6.78
6.77
6.76
6.76
4.39
4.37
4.36
4.34
2.85
1.43
1.41
-0.00
Me
O
N
NHPh
Me
Br
17
0.95
1.95
1.89
1.97
0.96
1.00
2.91
2.95
f1 (ppm)
B9/B9-C
170.76
148.32
137.46
132.14
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111.79
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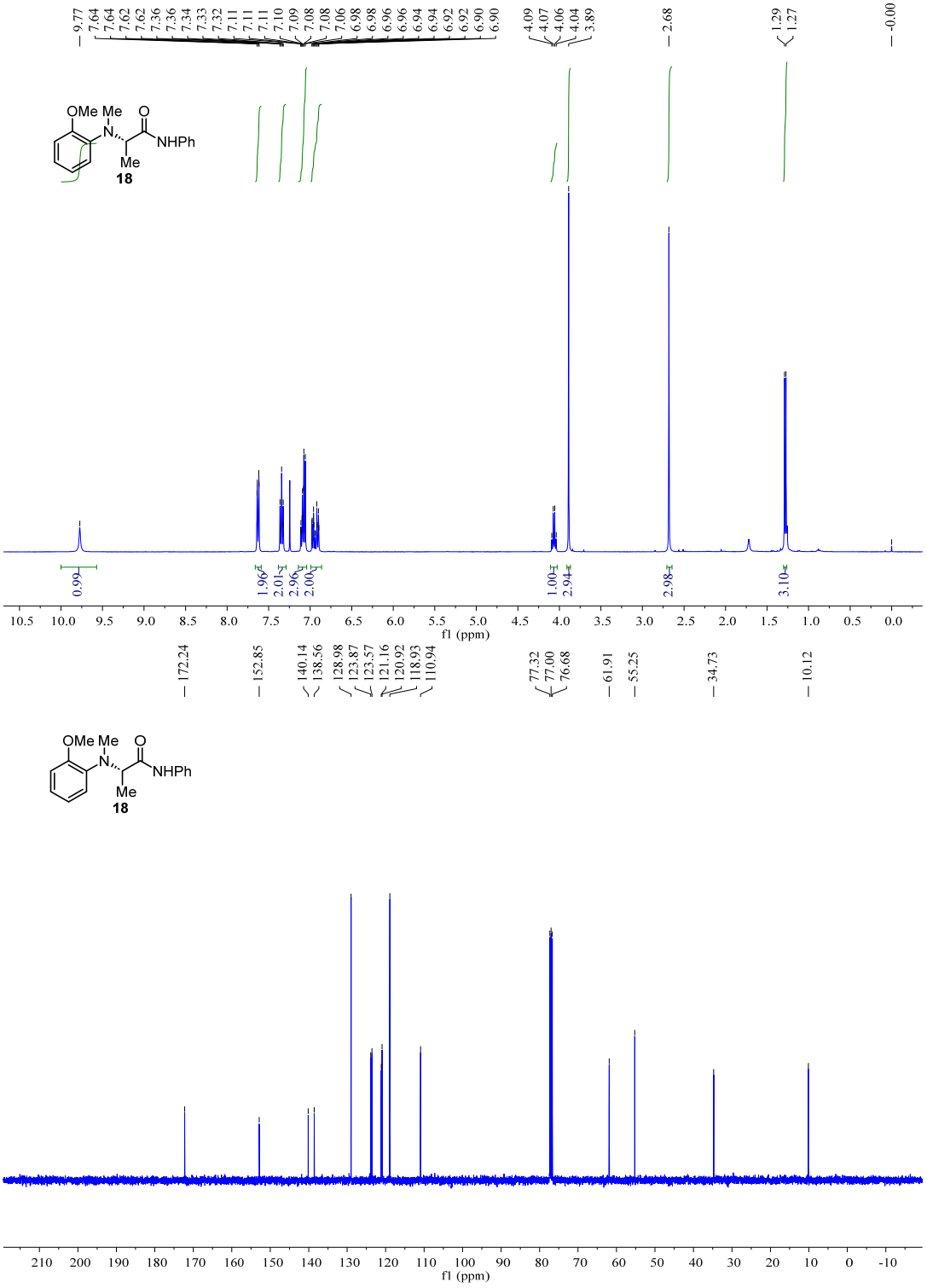
77.00
76.68
61.51
34.58
11.50
f1 (ppm)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7.34
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7.32
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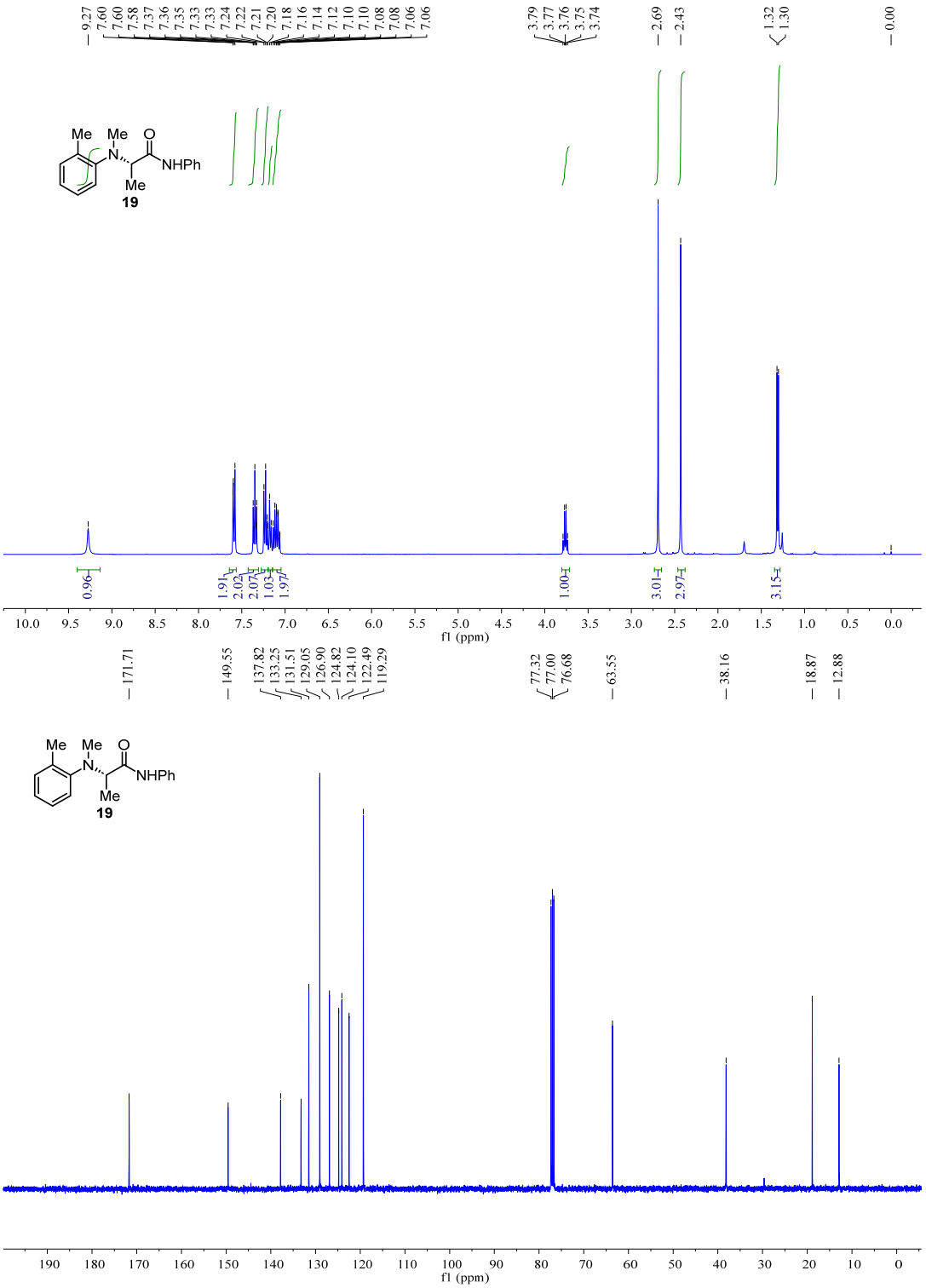
7.11
7.11
7.10
7.09
7.08
7.08
7.06
6.98
6.98
6.96
6.96
6.94
6.94
6.92
6.92
6.90
6.90
4.09
4.07
4.06
4.04
3.89
2.68
1.29
1.27
-0.00
OMe Me
N
O
NHPh
Me
18
0.99
1.96
2.01
2.96
2.00
1.00
2.94
2.98
3.10
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
172.24
152.85
140.14
138.56
128.98
123.87
123.57
121.16
120.92
118.93
110.94
77.32
77.00
76.68
61.91
55.25
34.73
10.12
OMe Me
N
O
NHPh
Me
18
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.33
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7.24
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7.21
7.20
7.18
7.16
7.14
7.12
7.10
7.10
7.08
7.08
7.06
7.06
3.79
3.77
3.76
3.75
3.74
2.69
2.43
1.32
1.30
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Me
Me
O
N
NHPh
Me
19
0.96
1.91
2.02
2.07
1.03
1.97
1.00
3.01
2.97
3.15
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)
171.71
149.55
137.82
133.25
131.51
129.05
126.90
124.82
124.10
122.49
119.29
77.32
77.00
76.68
63.55
38.16
18.87
12.88
Me
Me
O
N
NHPh
Me
19
190
180
170
160
150
140
130
120
110
100
90
80
70
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50
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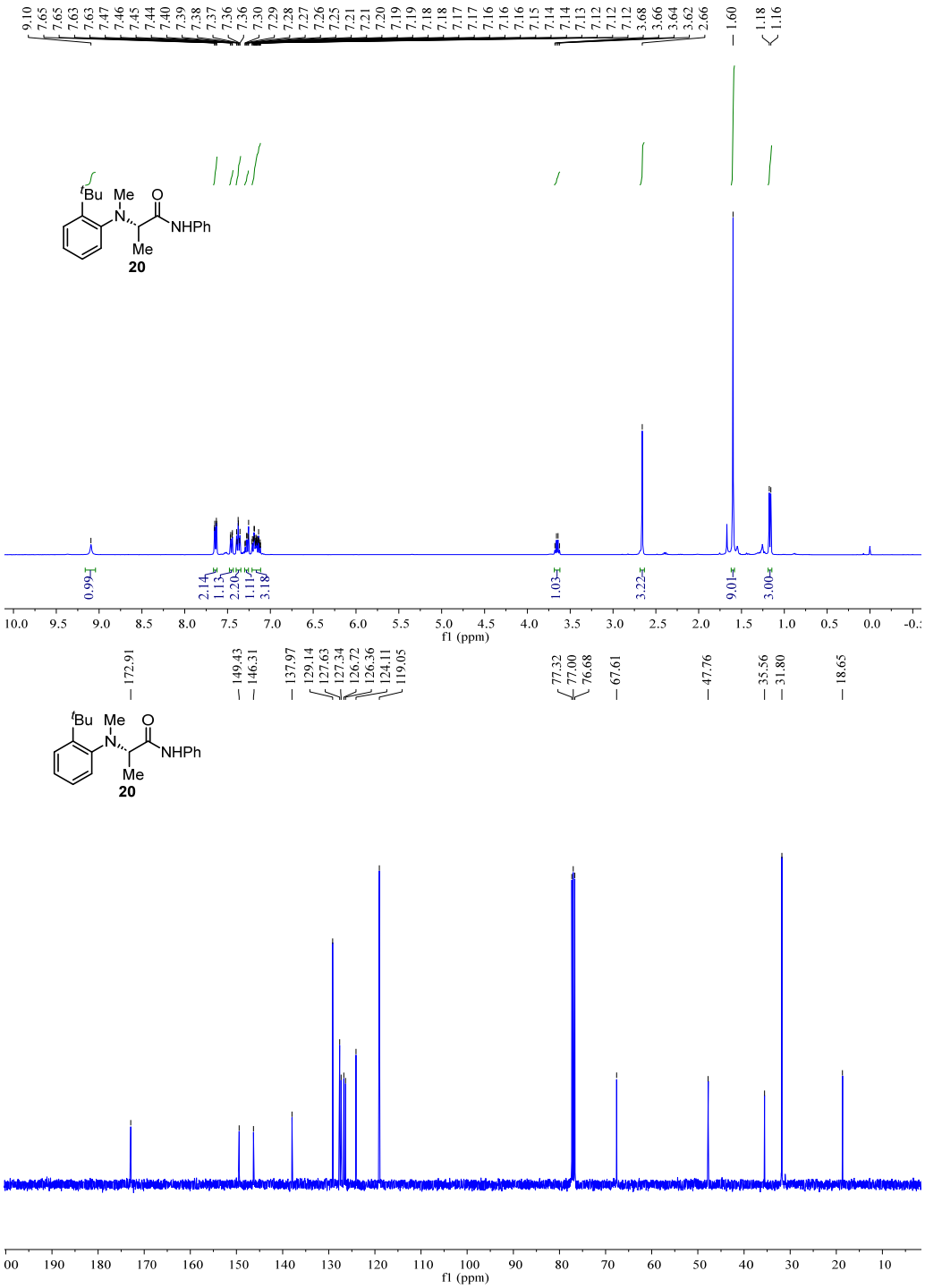
30
20
10
0
f1 (ppm)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7.45
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7.39
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7.37
7.36
7.36
7.30
7.29
7.28
7.27
7.26
7.25
7.21
7.21
7.20
7.19
7.19
7.18
7.18
7.17
7.17
7.16
7.16
7.16
7.15
7.14
7.14
7.13
7.12
7.12
7.12
3.68
3.66
3.64
3.62
2.66
1.60
1.18
1.16
tBu
Me
O
N
NHPh
Me
20
0.99
2.14
1.13
2.20
1.11
3.18
1.03
3.22
9.01
3.00
fl (ppm)
172.91
149.43
146.31
137.97
129.14
127.63
127.34
126.72
126.36
124.11
119.05
77.32
77.00
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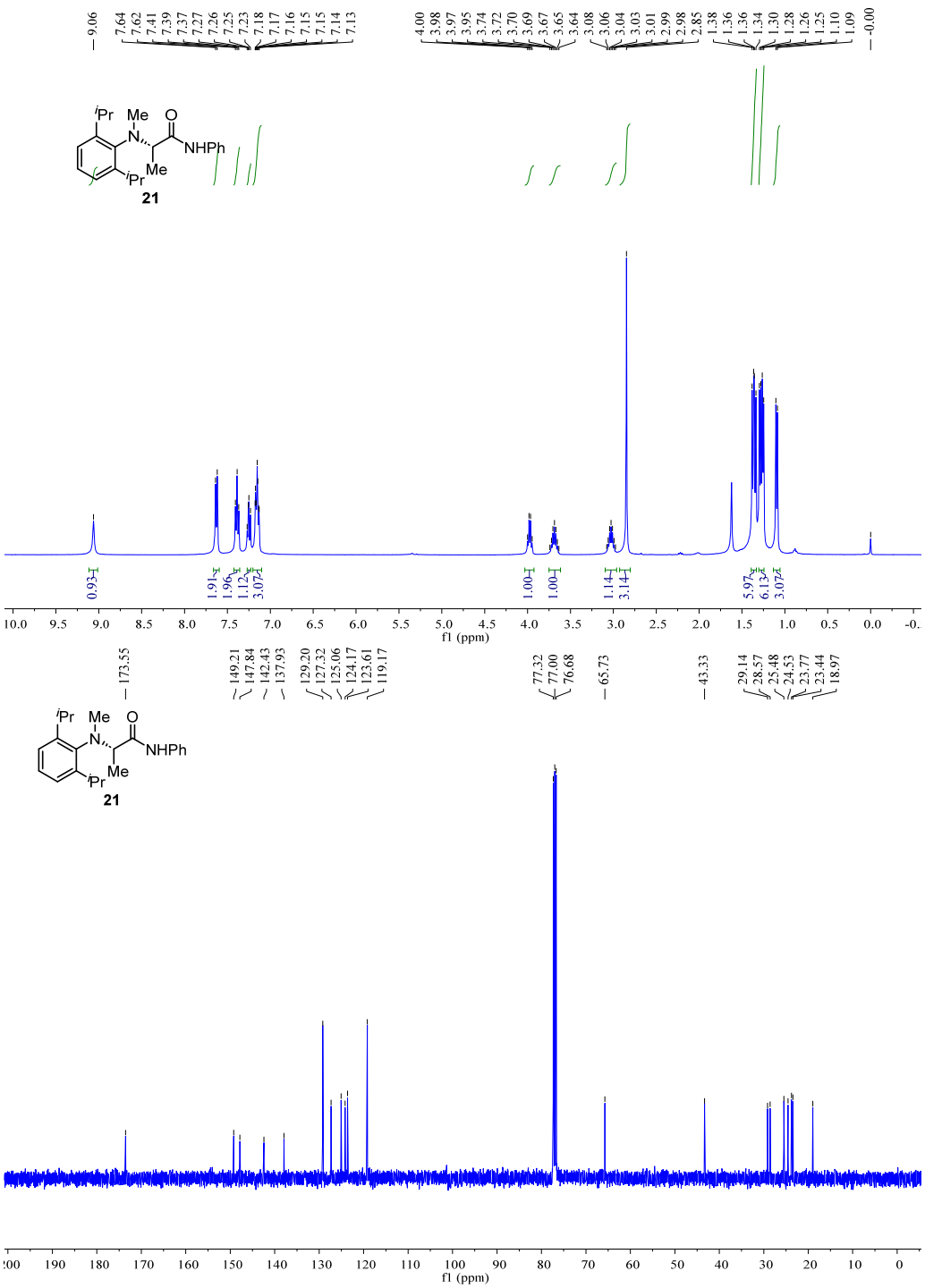
67.61
47.76
35.56
31.80
18.65
tBu
Me
O
N
NHPh
Me
20
fl (ppm)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7.64
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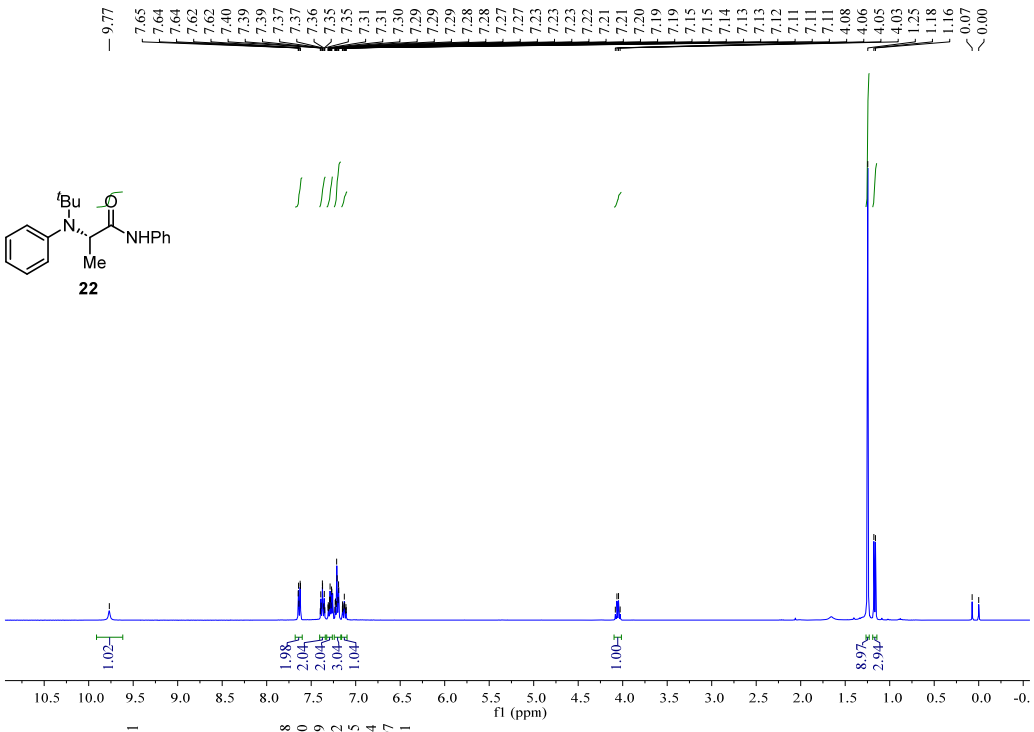
7.26
7.25
7.23
7.18
7.17
7.16
7.15
7.15
7.14
7.13
4.00
3.98
3.97
3.95
3.74
3.72
3.70
3.69
3.67
3.65
3.64
3.08
3.06
3.04
3.03
3.01
2.99
2.98
2.85
1.38
1.36
1.36
1.34
1.30
1.28
1.26
1.25
1.10
1.09
-0.00
iPr
Me
O
N
NHPh
Me
iPr
21
0.93
1.91
1.96
1.12
3.07
1.00
1.00
1.14
3.14
5.97
6.13
3.07
fl (ppm)
173.55
149.21
147.84
142.43
137.93
129.20
127.32
125.06
124.17
123.61
119.17
77.32
77.00
76.68
65.73
43.33
29.14
28.57
25.48
24.53
23.77
23.44
18.97
fl (ppm)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7.64
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7.62
7.40
7.39
7.39
7.37
7.37
7.36
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7.35
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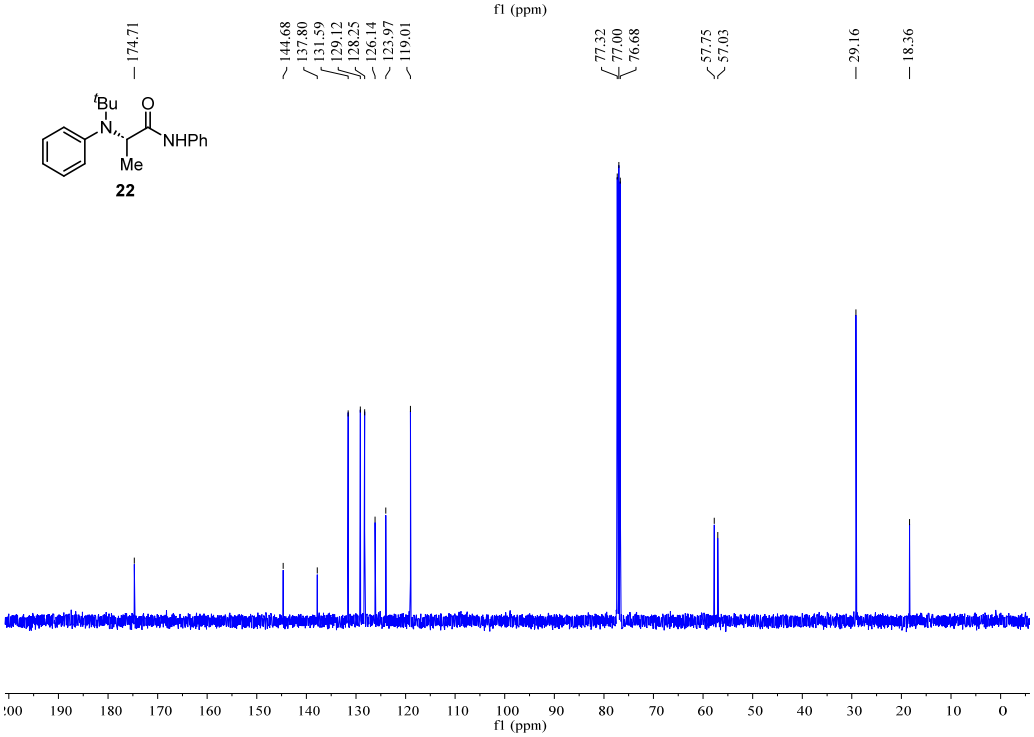
7.31
7.30
7.29
7.29
7.29
7.28
7.28
7.27
7.27
7.23
7.23
7.23
7.22
7.21
7.21
7.20
7.19
7.19
7.15
7.15
7.14
7.13
7.13
7.12
7.11
7.11
7.11
4.08
4.06
4.05
4.03
1.25
1.18
1.16
0.07
0.00
tBu
N
O
NHPh
Me
22
1.02
1.98
2.04
2.04
3.04
1.04
1.00
8.97
2.94
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.
f1 (ppm)


174.71
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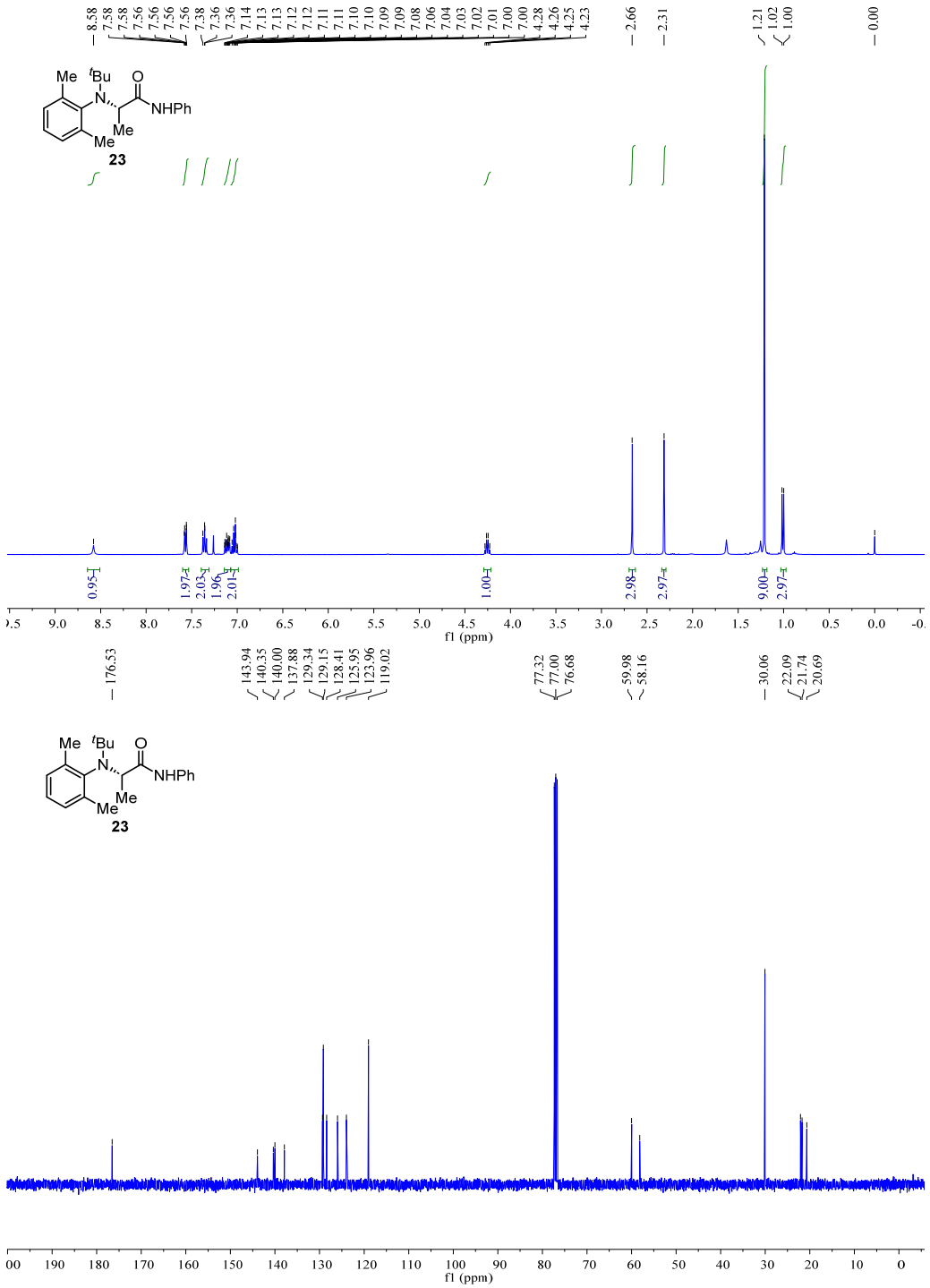
128.25
126.14
123.97
119.01
77.32
77.00
76.68
57.75
57.03
29.16
18.36
tBu
N
O
NHPh
Me
22
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.58
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7.56
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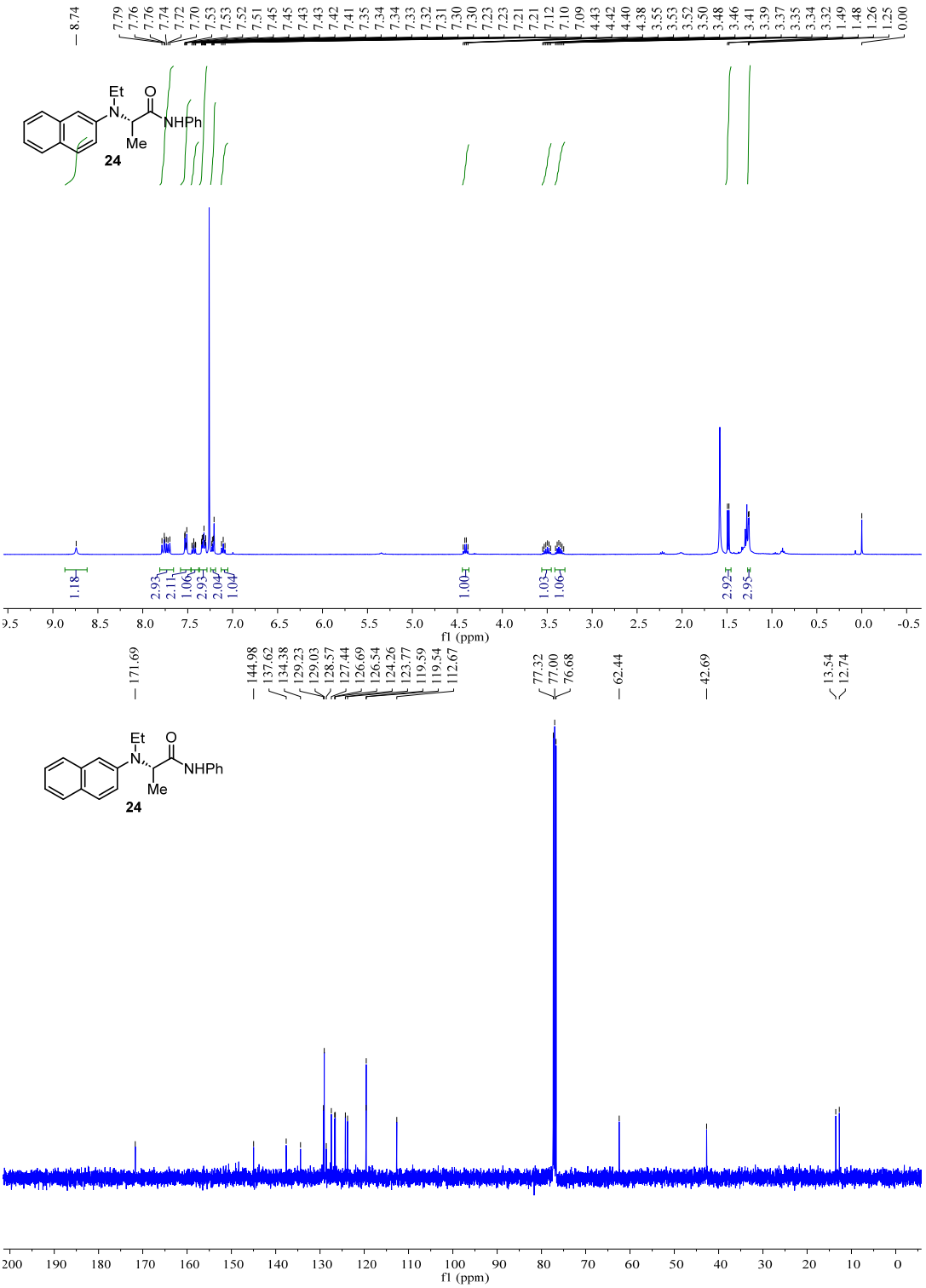
7.13
7.12
7.12
7.11
7.11
7.10
7.10
7.09
7.09
7.08
7.06
7.04
7.03
7.02
7.01
7.00
7.00
4.28
4.26
4.25
4.23
2.66
2.31
1.21
1.02
1.00
0.00
Me
tBu
O
N
NHPh
Me
Me
23
0.95
1.97
2.03
1.96
2.01
1.00
2.98
2.97
9.00
2.97
f1 (ppm)
176.53
143.94
140.35
140.00
137.88
129.34
129.15
128.41
125.95
123.96
119.02
77.32
77.00
76.68
59.98
58.16
30.06
22.09
21.74
20.69
Me
tBu
O
N
NHPh
Me
Me
23
f1 (ppm)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7.52
7.51
7.45
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7.43
7.43
7.42
7.41
7.35
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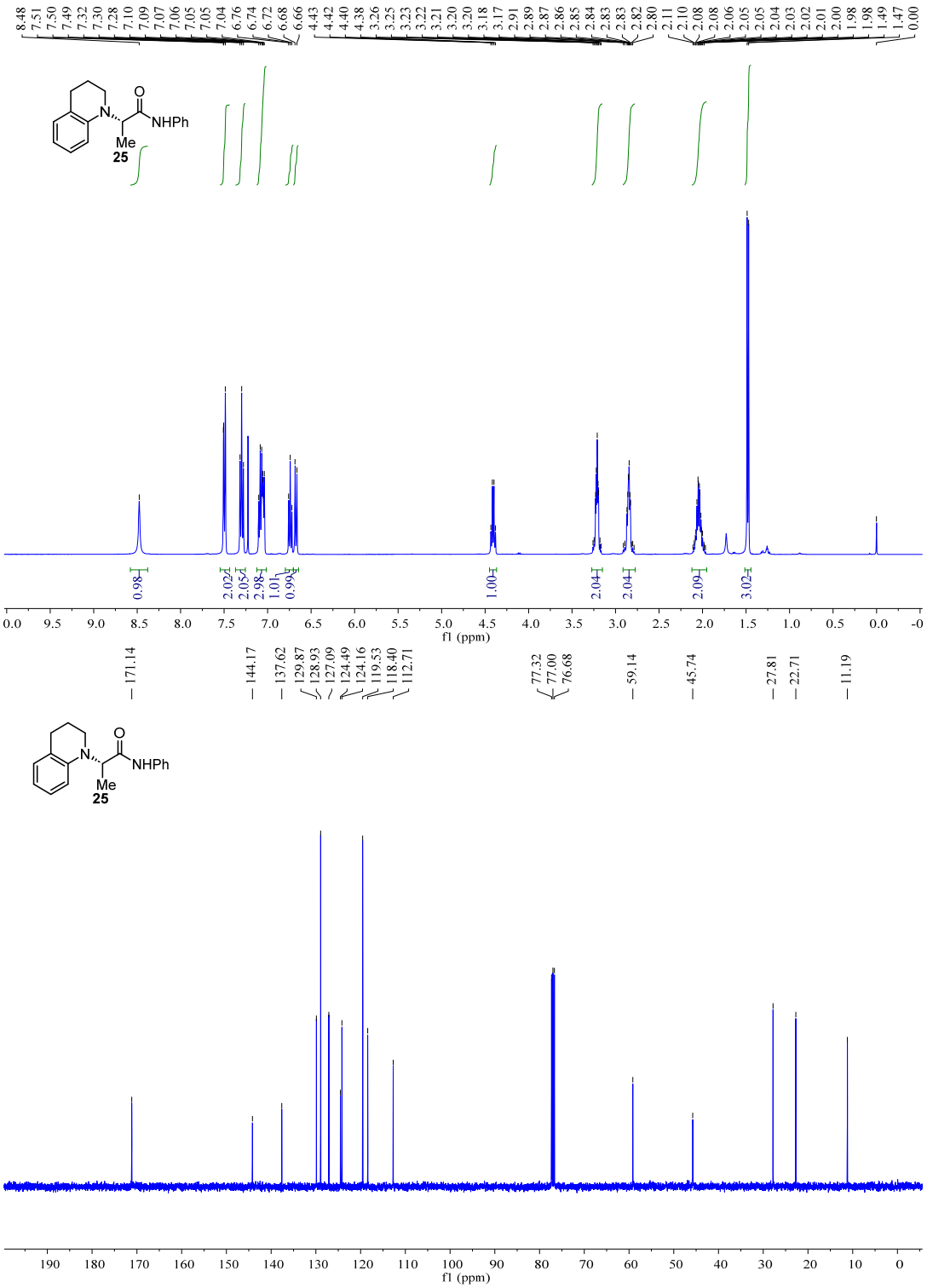
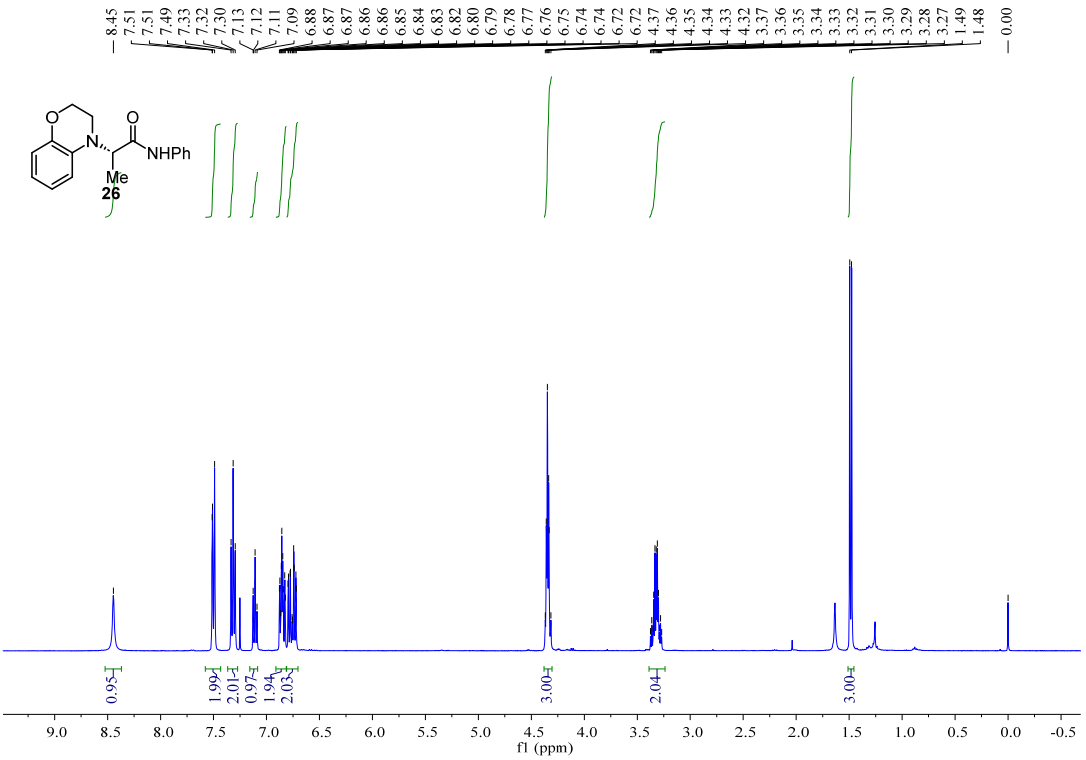
7.34
7.33
7.32
7.31
7.30
7.30
7.23
7.23
7.21
7.21
7.12
7.10
7.09
4.43
4.42
4.40
4.38
3.55
3.53
3.52
3.50
3.48
3.46
3.41
3.39
3.37
3.35
3.34
3.32
1.49
1.48
1.26
1.25
0.00
Et
N
O
NHPh
Me
24
1.18
2.93
2.11
1.06
2.93
2.04
1.04
1.00
1.03
1.06
2.92
2.95
f1 (ppm)
171.69
144.98
137.62
134.38
129.23
129.03
128.57
127.44
126.69
126.54
124.26
123.77
119.59
119.54
112.67
77.32
77.00
76.68
62.44
42.69
13.54
12.74
Et
N
O
NHPh
Me
24
f1 (ppm)


8.48 7.51 7.50 7.49 7.32 7.30 7.28 7.10 7.09 7.07 7.06 7.05 7.05 7.04 6.76 6.74 6.72 6.68 6.66
4.43 4.42 4.40 4.38 3.26 3.25 3.23 3.22 3.21 3.20 3.20 3.18 3.17 2.91 2.89 2.87 2.86 2.85 2.84 2.83 2.83 2.82 2.80
2.11 2.10 2.08 2.08 2.06 2.05 2.05 2.04 2.03 2.02 2.01 2.00 1.98 1.98 1.49 1.47 0.00
O
NHPh
N
Me
25
0.98 2.02 2.05 2.98 1.01 0.99 1.00 2.04 2.04 2.09 3.02
f1 (ppm)
171.14 144.17 137.62 129.87 128.93 127.09 124.49 124.16 119.53 118.40 112.71
77.32 77.00 76.68 59.14 45.74 27.81 22.71 11.19
f1 (ppm)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7.32
7.30
7.13
7.12
7.11
7.09
6.88
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6.87
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6.86
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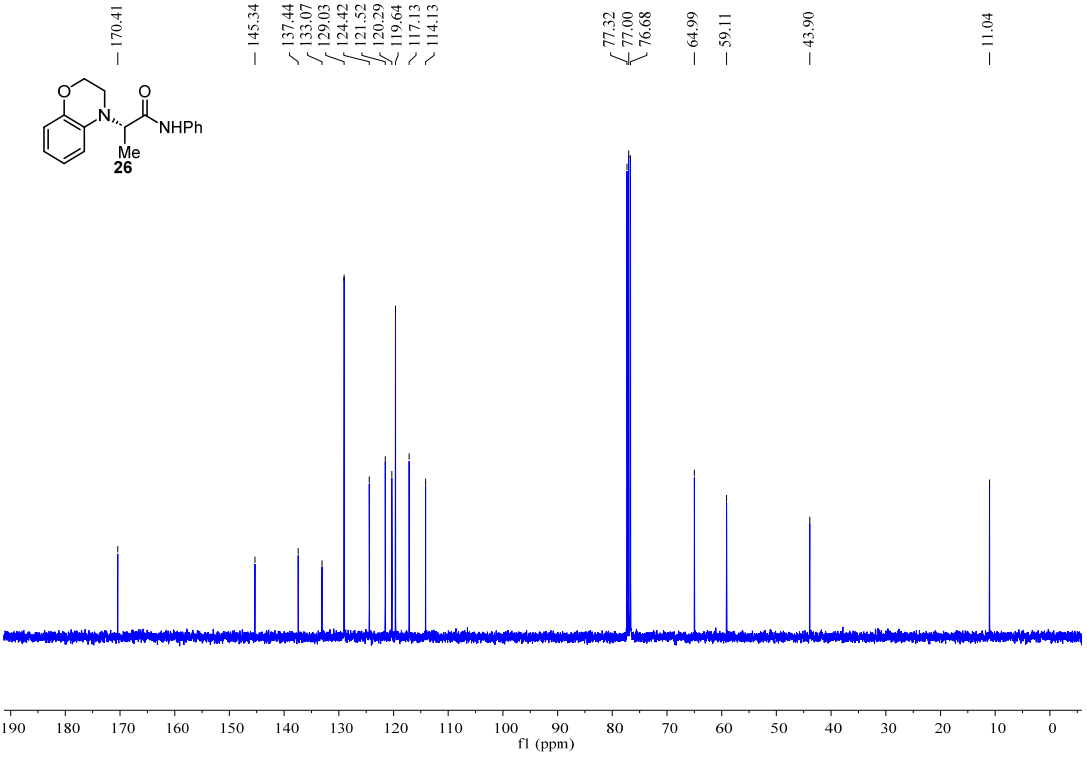
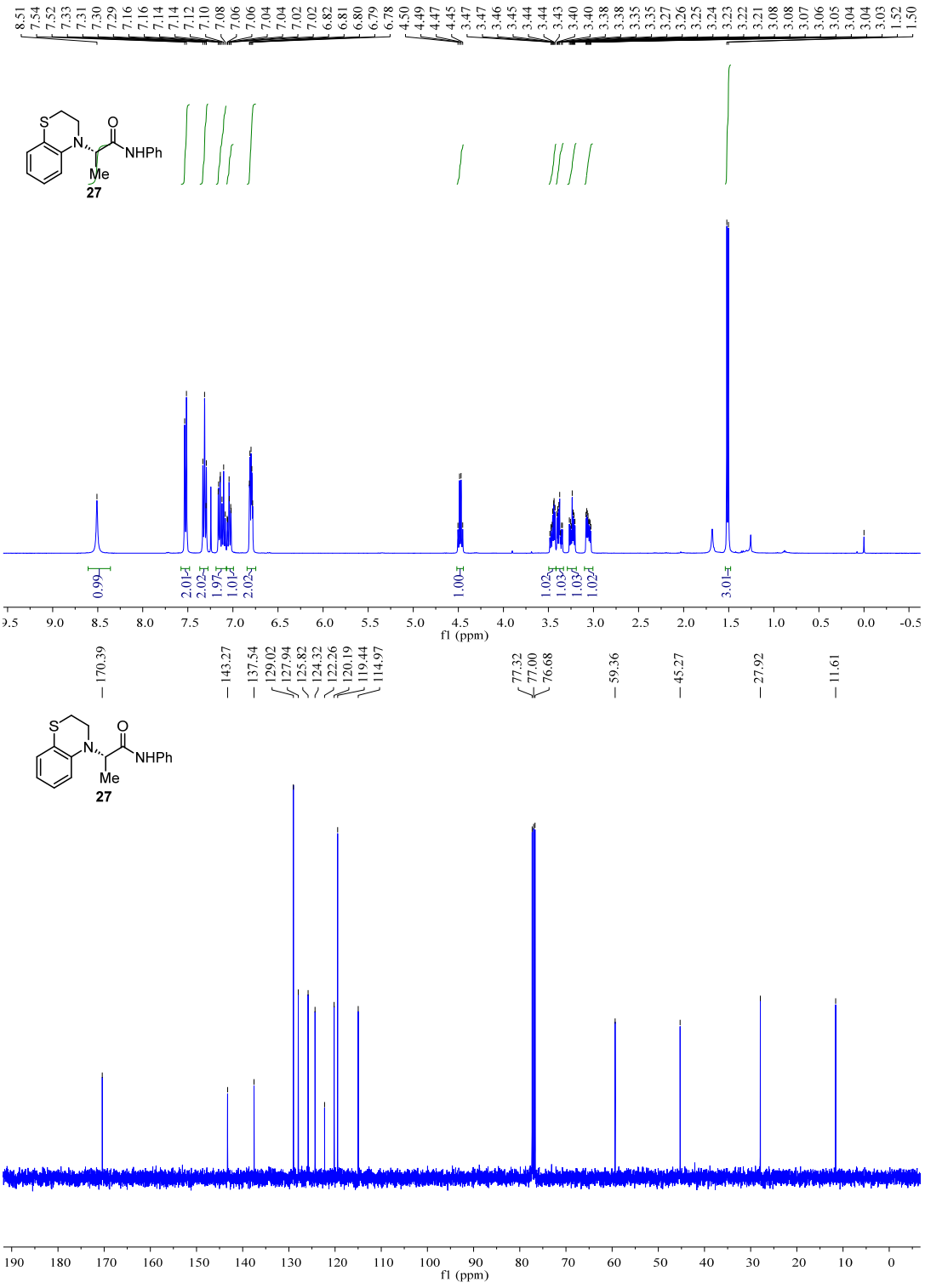
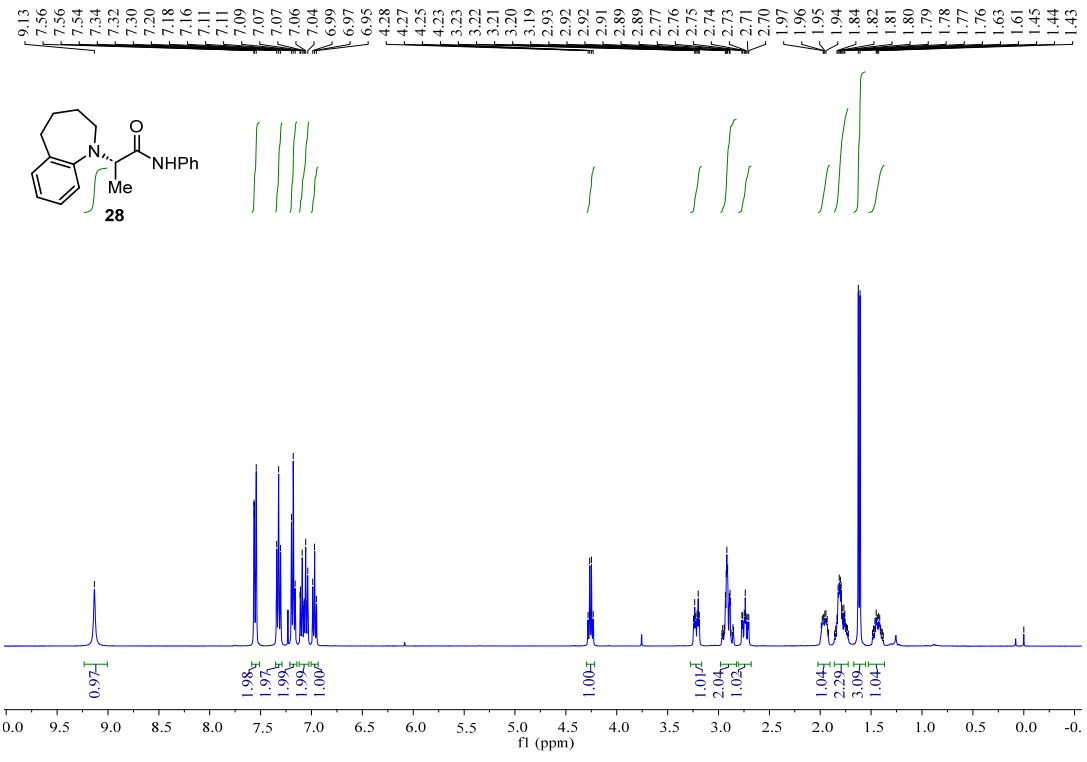
6.84
6.83
6.82
6.80
6.79
6.78
6.77
6.76
6.75
6.74
6.74
6.72
6.72
4.37
4.36
4.35
4.34
4.33
4.32
3.37
3.36
3.35
3.34
3.33
3.32
3.31
3.30
3.29
3.28
3.27
1.49
1.48
0.00
NHPh
Me
26
0.95
1.99
2.01
0.97
1.94
2.03
3.00
2.04
3.00
f1 (ppm)


170.41
145.34
137.44
133.07
129.03
124.42
121.52
120.29
119.64
117.13
114.13
77.32
77.00
76.68
64.99
59.11
43.90
11.04
NHPh
Me
26
f1 (ppm)


8.51 7.54 7.52 7.33 7.31 7.30 7.29 7.16 7.16 7.14 7.14 7.12 7.10 7.08 7.06 7.06 7.04 7.04 7.02 7.02 6.82 6.81 6.80 6.79 6.78 4.50 4.49 4.47 4.45 3.47 3.47 3.46 3.45 3.44 3.44 3.43 3.40 3.40 3.38 3.38 3.35 3.35 3.27 3.26 3.25 3.24 3.23 3.22 3.21 3.08 3.08 3.07 3.06 3.05 3.04 3.04 3.03 1.52 1.50
S
N
O
NHPh
Me
27
0.99 2.01 2.02 1.97 1.01 2.02 1.00 1.02 1.03 1.03 1.02 3.01
f1 (ppm)
170.39 143.27 137.54 129.02 127.94 125.82 124.32 122.26 120.19 119.44 114.97 77.32 77.00 76.68 59.36 45.27 27.92 11.61
S
N
O
NHPh
Me
27
f1 (ppm)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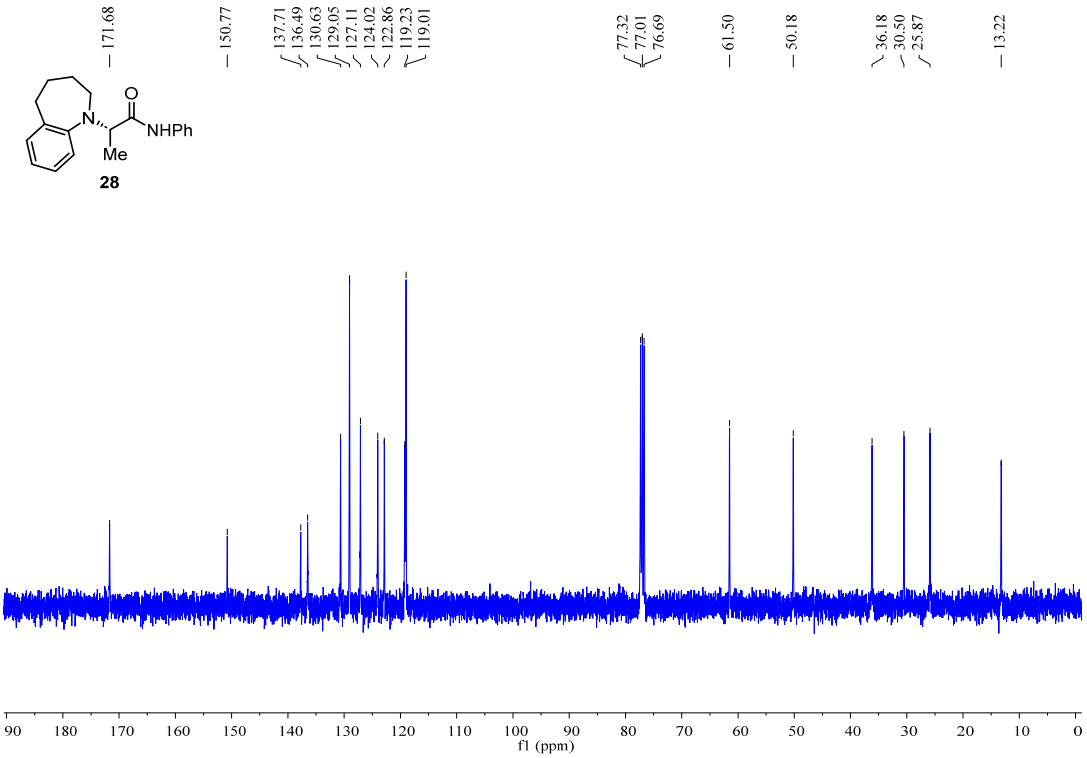
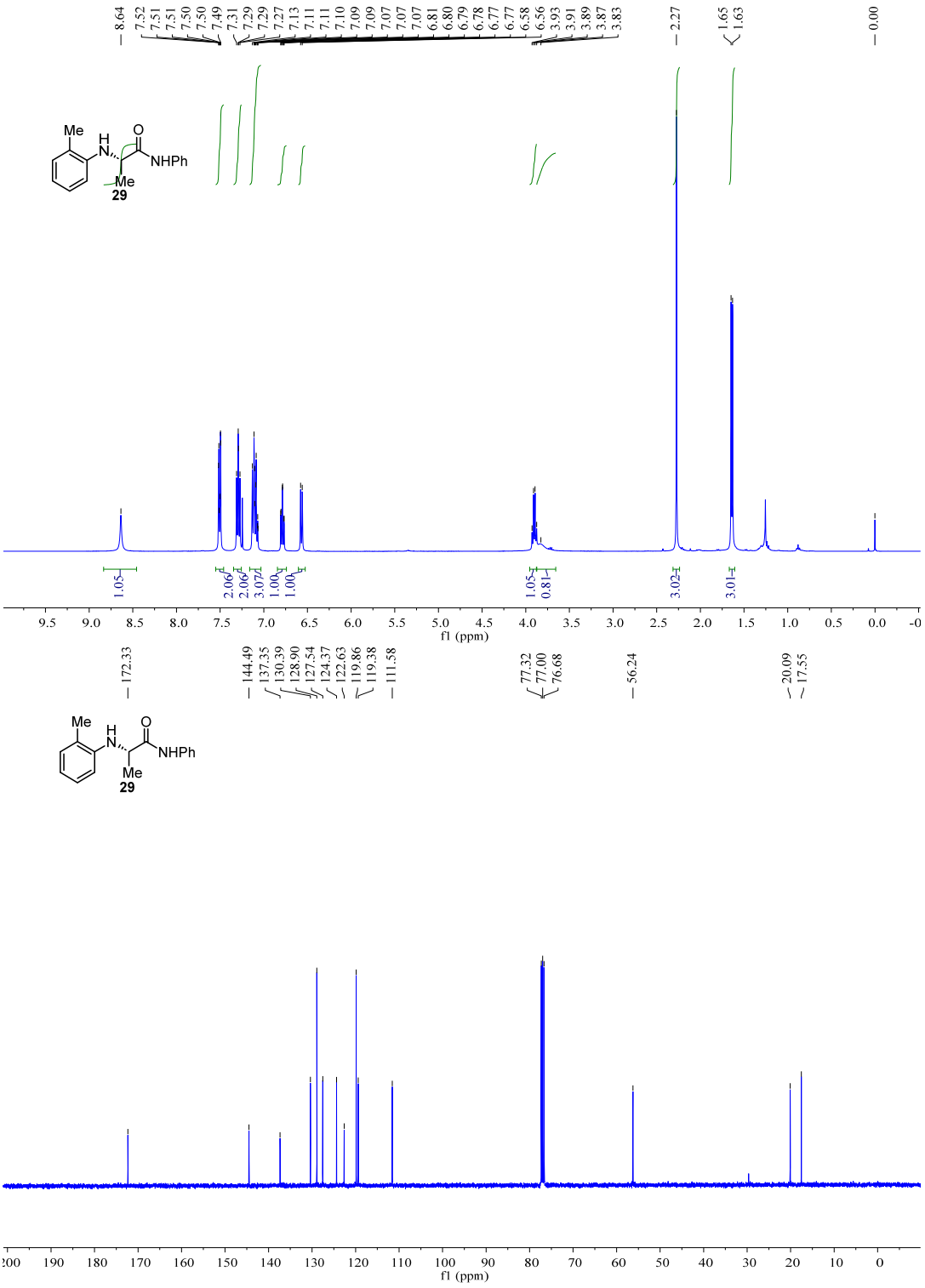
4.28 4.27 4.25 4.23 3.23 3.22 3.21 3.20 3.19 2.93 2.92 2.92 2.91 2.89 2.89 2.77 2.76 2.75 2.74 2.73 2.71 2.70
1.97 1.96 1.95 1.94 1.84 1.82 1.81 1.80 1.79 1.78 1.77 1.76 1.63 1.61 1.45 1.44 1.43
O
N
NHPh
Me
28
0.97 1.98 1.97 1.99 1.99 1.00 1.00 1.01 2.04 1.02 1.04 2.29 3.09 1.04
0.0 9.5 9.0 8.5 8.0 7.5 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 -0.
f1 (ppm)


171.68 150.77 137.71 136.49 130.63 129.05 127.11 124.02 122.86 119.23 119.01
77.32 77.01 76.69 61.50 50.18 36.18 30.50 25.87 13.22
O
N
NHPh
Me
28
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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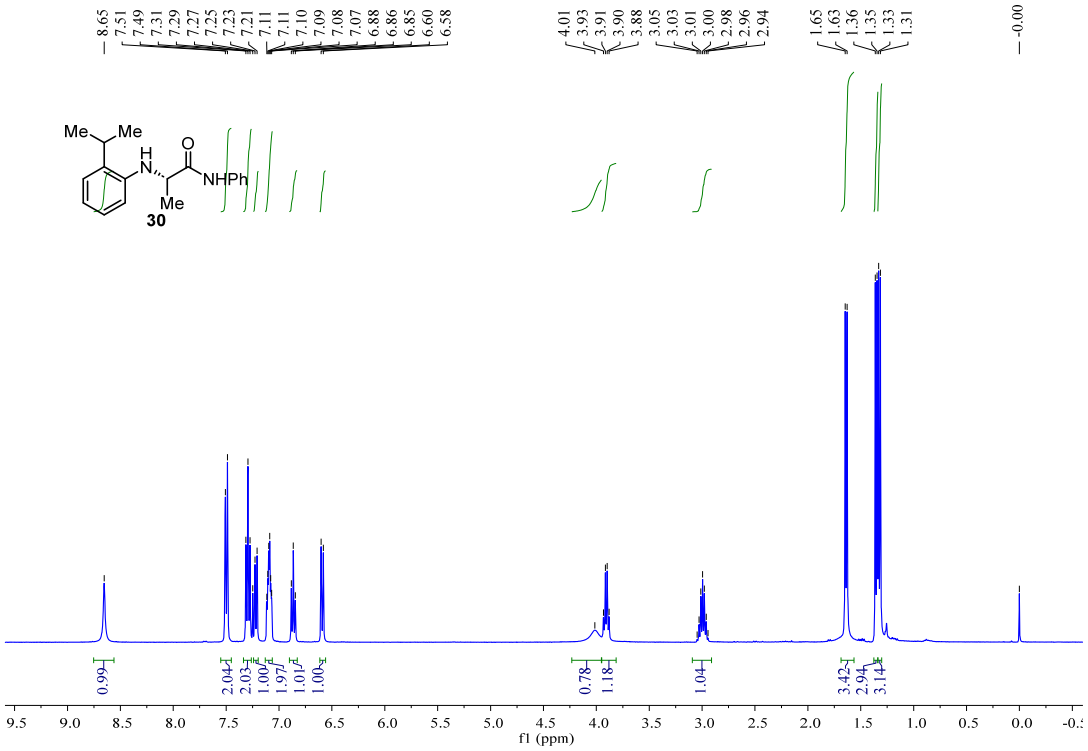
7.51
7.50
7.50
7.49
7.31
7.29
7.29
7.27
7.13
7.11
7.11
7.10
7.09
7.09
7.07
7.07
7.07
6.81
6.80
6.79
6.78
6.77
6.77
6.58
6.56
3.93
3.91
3.89
3.87
3.83
2.27
1.65
1.63
0.00
Me
H
N
O
NHPh
Me
29
1.05
2.06
2.06
3.07
1.00
1.00
1.05
0.81
3.02
3.01
fl (ppm)
172.33
144.49
137.35
130.39
128.90
127.54
124.37
122.63
119.86
119.38
111.58
77.32
77.00
76.68
56.24
20.09
17.55
fl (ppm)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7.31
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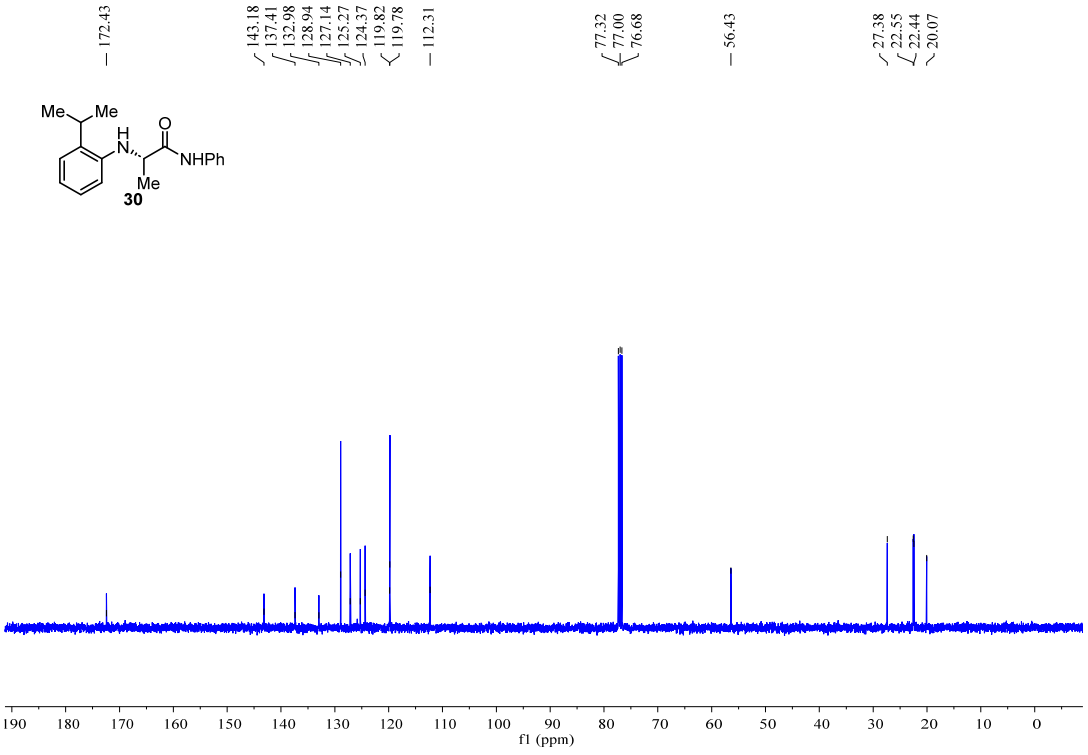
7.27
7.25
7.23
7.21
7.11
7.11
7.10
7.09
7.08
7.07
6.88
6.86
6.85
6.60
6.58
4.01
3.93
3.91
3.90
3.88
3.05
3.03
3.01
3.00
2.98
2.96
2.94
1.65
1.63
1.36
1.35
1.33
1.31
-0.00
Me
Me
H
N
O
NHPh
Me
30
0.99
2.04
2.03
1.00
1.97
1.01
1.00
0.78
1.18
1.04
3.42
2.94
3.14
f1 (ppm)


172.43
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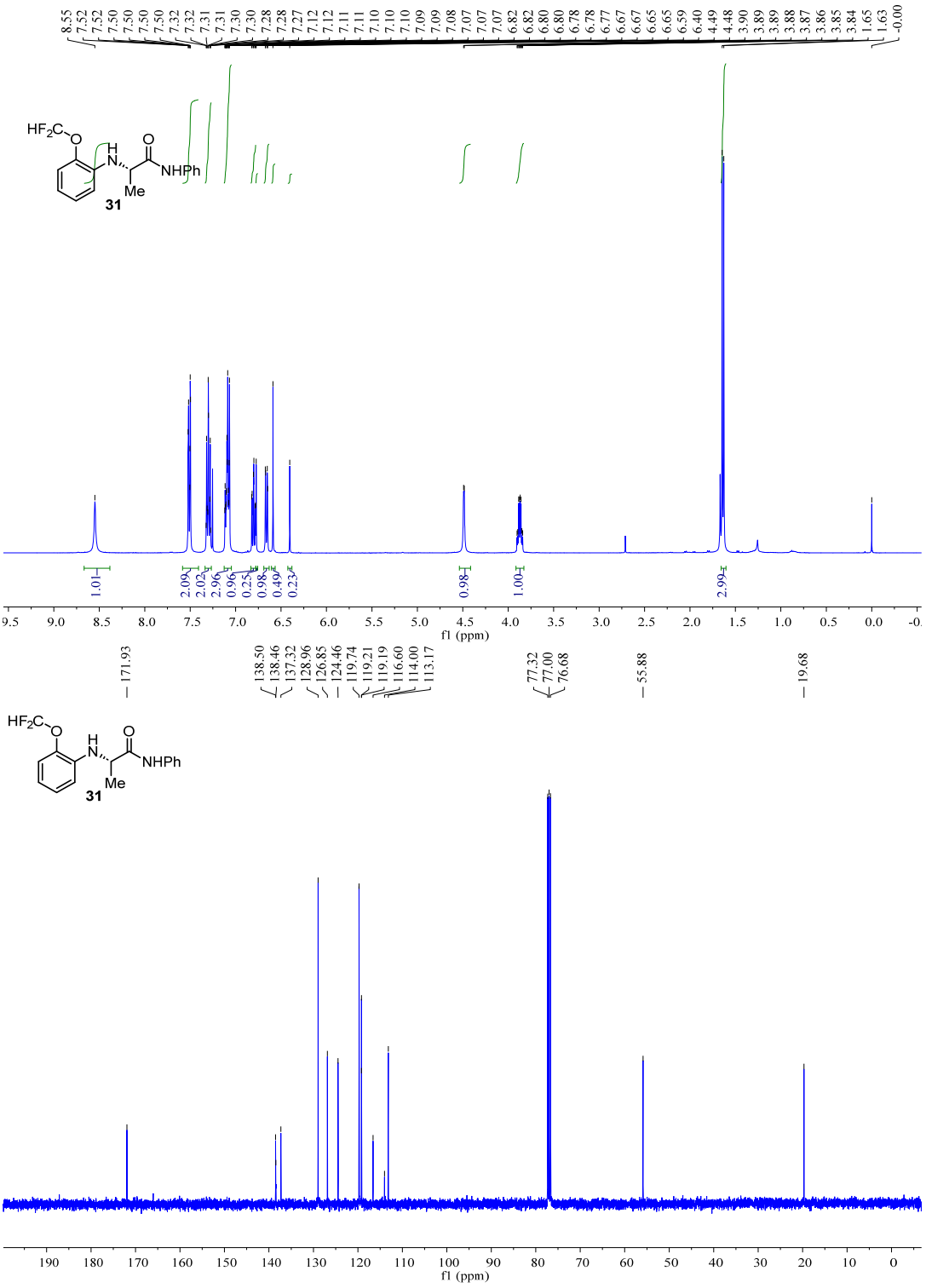
137.41
132.98
128.94
127.14
125.27
124.37
119.82
119.78
112.31
77.32
77.00
76.68
56.43
27.38
22.55
22.44
20.07
Me
Me
H
N
O
NHPh
Me
30
f1 (ppm)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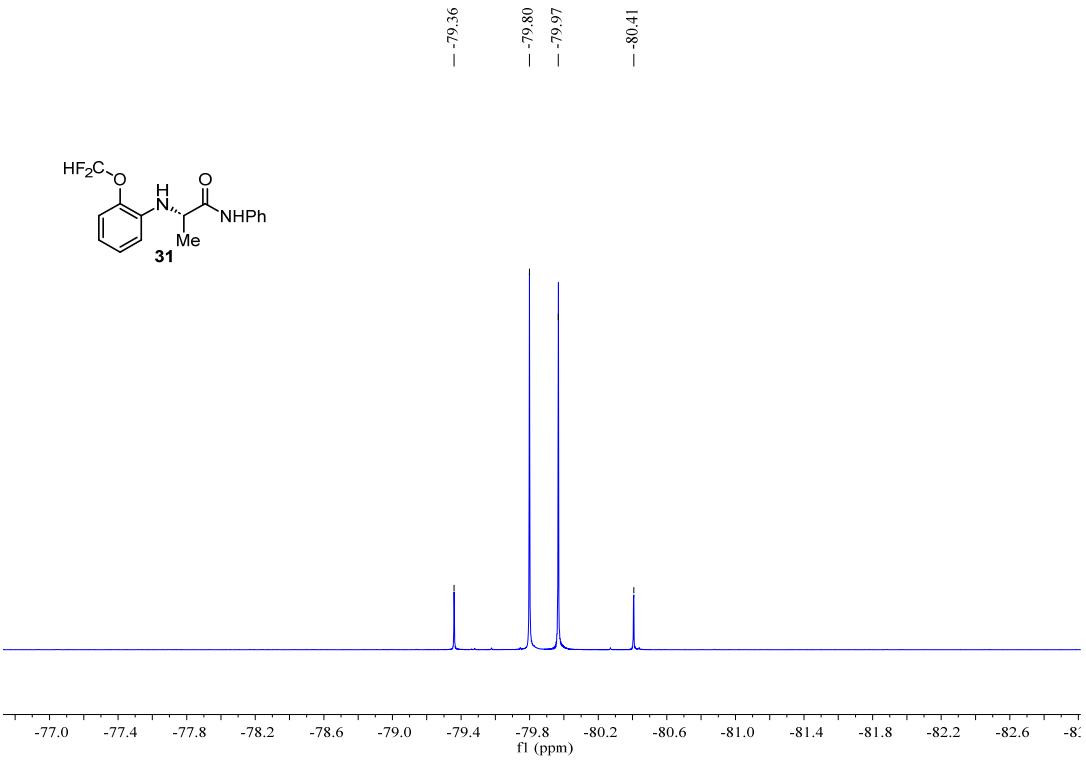
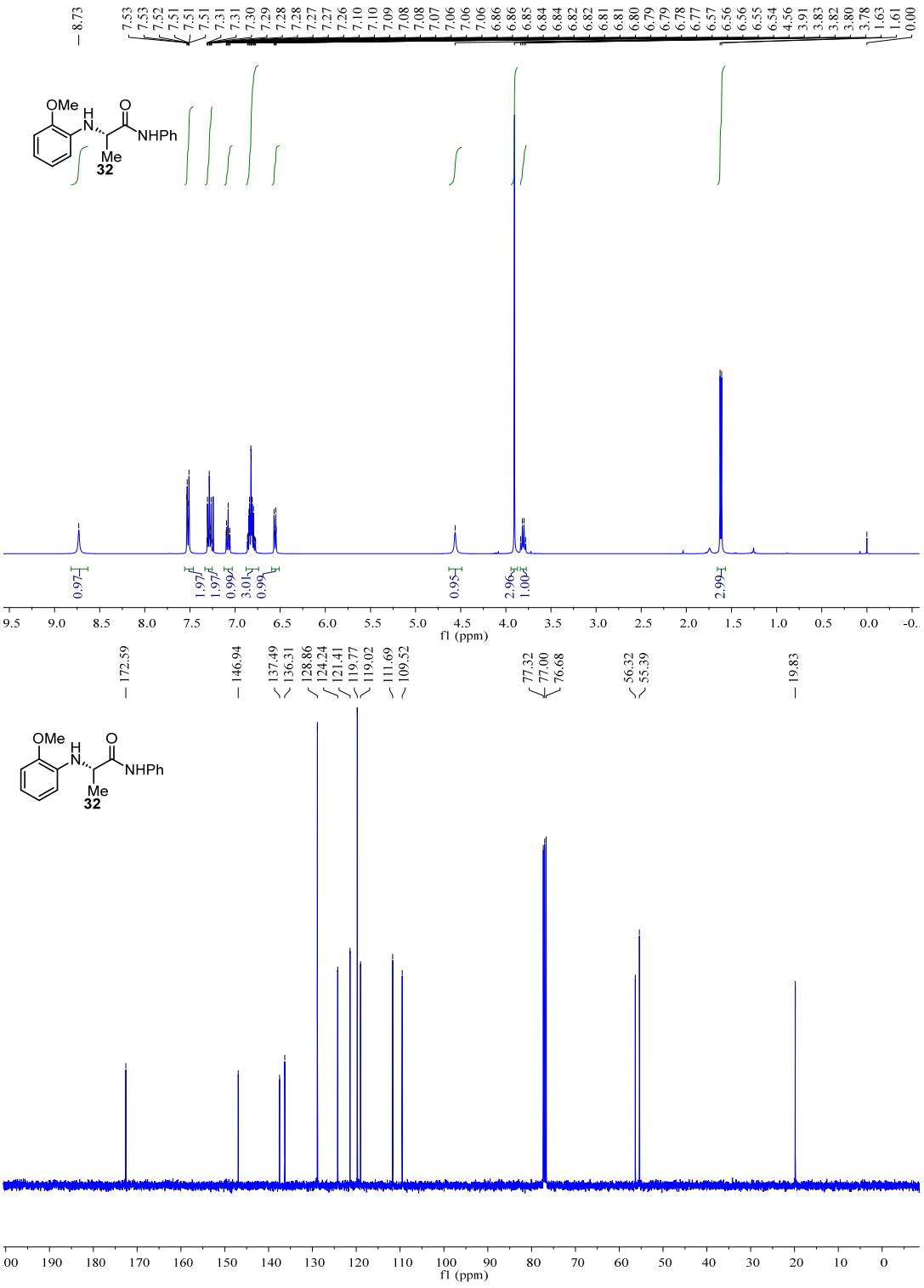
HF2C
O
H
N
O
NHPh
Me
31
1.01 2.09 2.02 2.96 0.96 0.25 0.98 0.49 0.23 0.98 1.00 2.99
f1 (ppm)
171.93
138.50 138.46 137.32 128.96 126.85 124.46 119.74 119.21 119.19 116.60 114.00 113.17
77.32 77.00 76.68
55.88
19.68
f1 (ppm)


-79.36
-79.80
-79.97
-80.41
HF2C
O
H
N
O
NHPh
Me
31
-77.0
-77.4
-77.8
-78.2
-78.6
-79.0
-79.4
-79.8
-80.2
-80.6
-81.0
-81.4
-81.8
-82.2
-82.6
f1 (ppm)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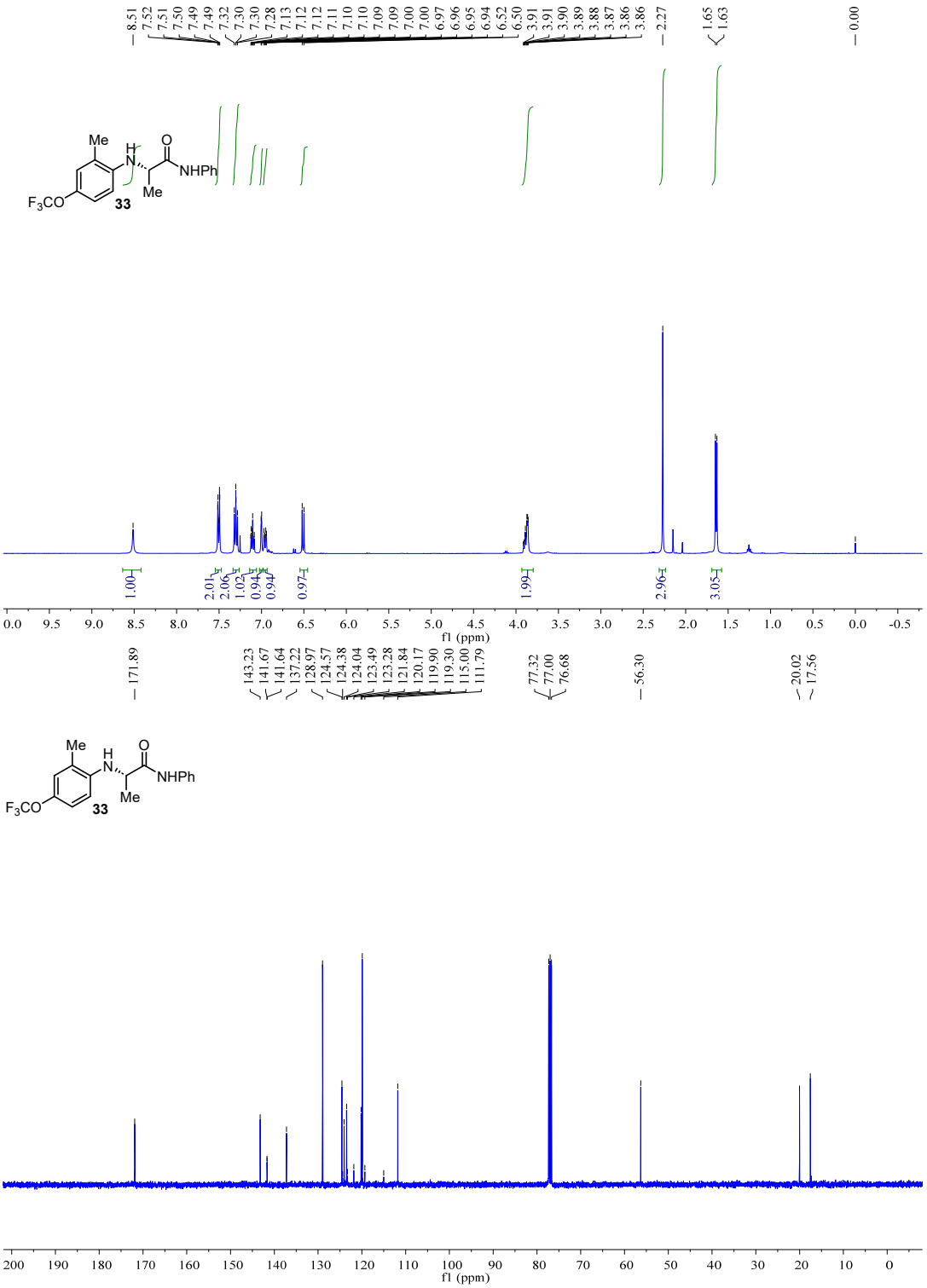
7.53
7.53
7.52
7.51
7.51
7.51
7.31
7.31
7.30
7.29
7.28
7.28
7.27
7.27
7.26
7.10
7.09
7.08
7.08
7.07
7.06
7.06
7.06
6.86
6.86
6.85
6.84
6.84
6.82
6.82
6.81
6.81
6.80
6.79
6.79
6.78
6.77
6.57
6.56
6.56
6.55
6.54
4.56
3.91
3.83
3.82
3.80
3.78
1.63
1.61
0.00
OMe
H
N
O
NHPh
Me
32
0.97
1.97
1.97
0.99
3.01
0.99
0.95
2.96
1.00
2.99
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)
172.59
146.94
137.49
136.31
128.86
124.24
121.41
119.77
119.02
111.69
109.52
77.32
77.00
76.68
56.32
55.39
19.83
OMe
H
N
O
NHPh
Me
32
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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7.52
7.51
7.50
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7.49
7.32
7.30
7.30
7.28
7.13
7.12
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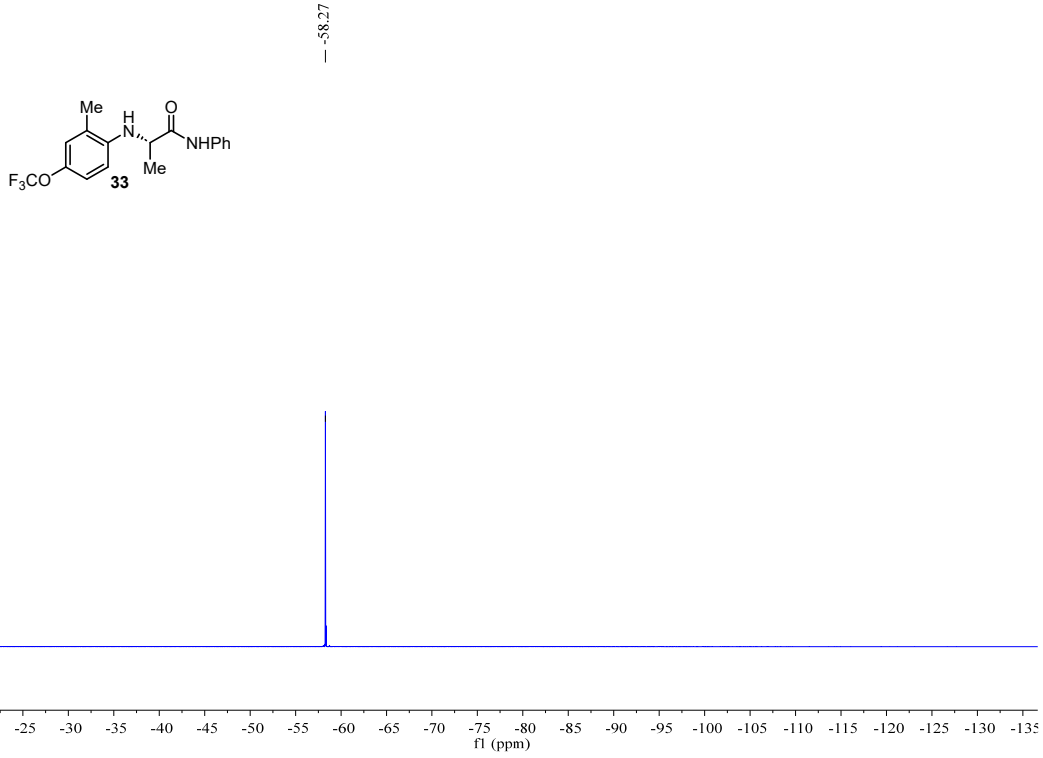
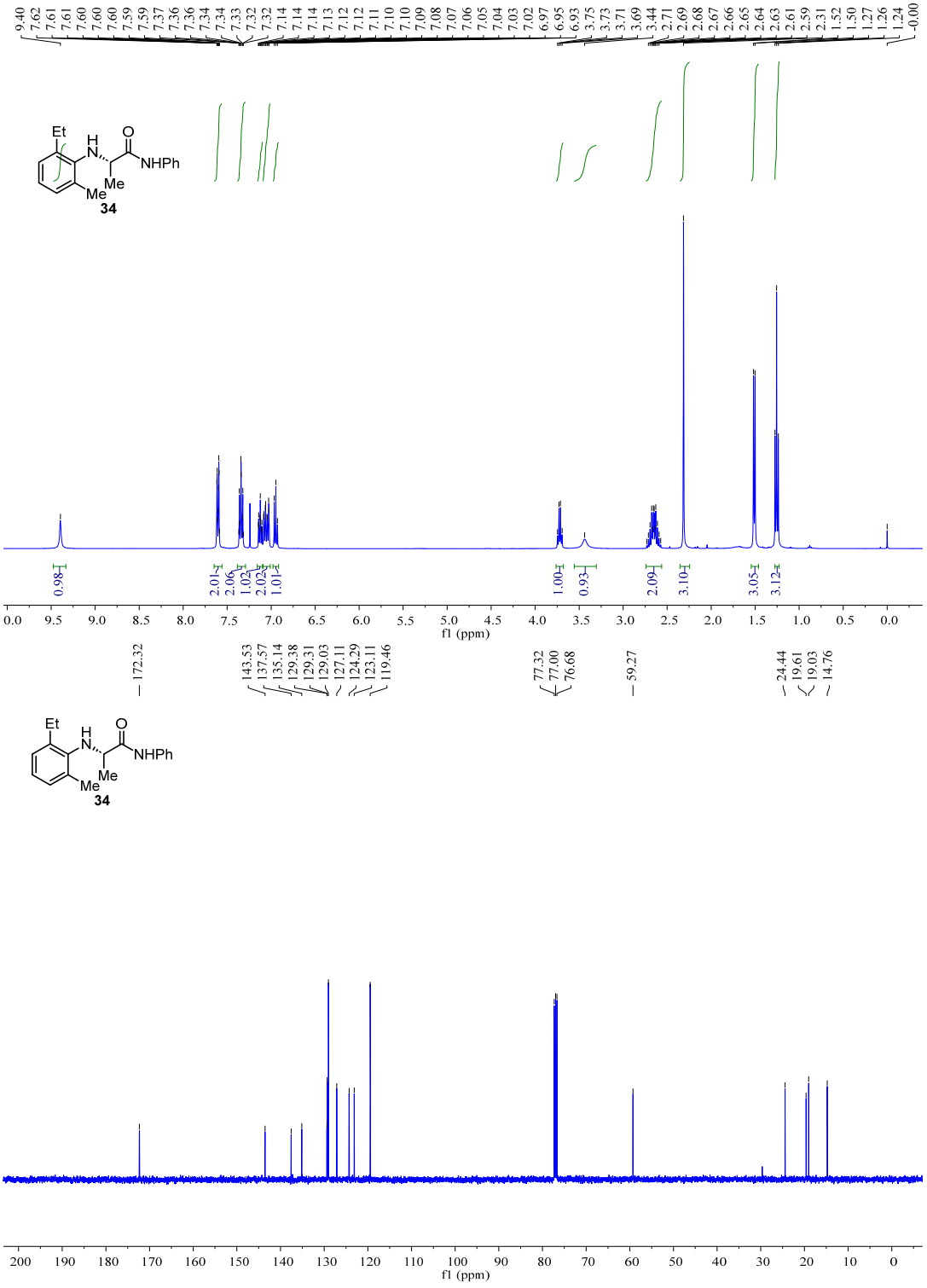
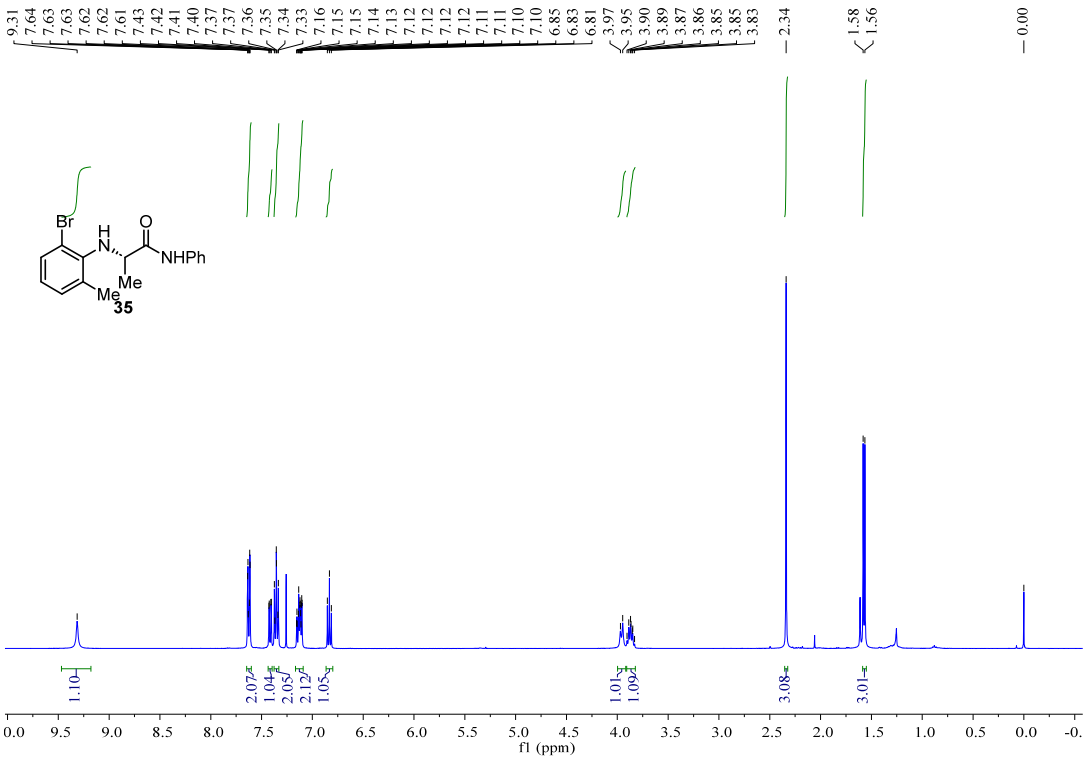
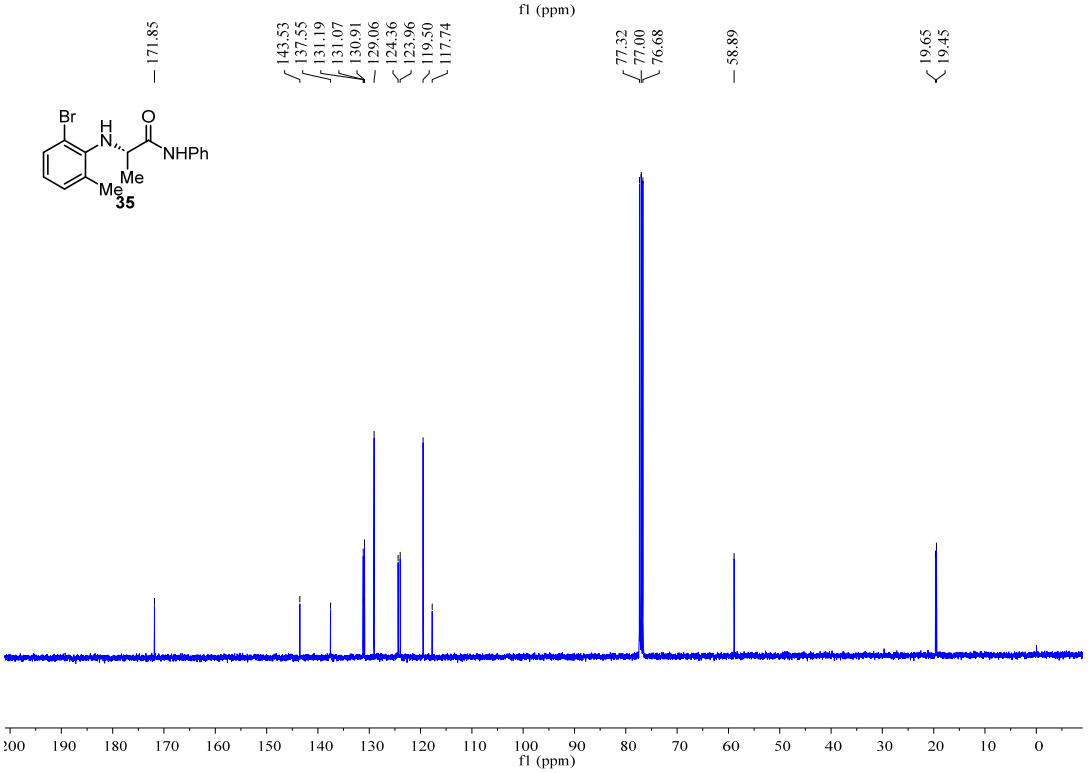
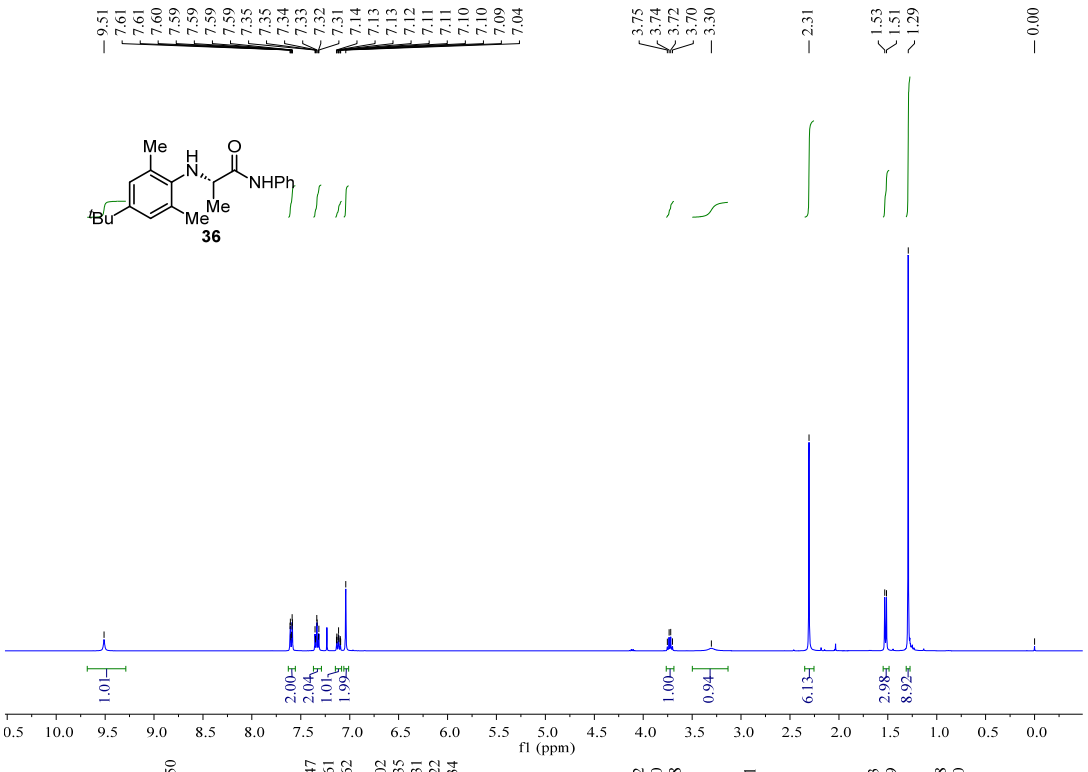
7.11
7.10
7.10
7.09
7.09
7.00
7.00
6.97
6.96
6.95
6.94
6.52
6.50
3.91
3.91
3.90
3.89
3.88
3.87
3.86
3.86
2.27
1.65
1.63
0.00
Me
H
N
O
NHPh
Me
F3CO
33
1.00
2.01
2.06
1.02
0.94
0.94
0.97
1.99
2.96
3.05
f1 (ppm)
171.89
143.23
141.67
141.64
137.22
128.97
124.57
124.38
124.04
123.49
123.28
121.84
120.17
119.90
119.30
115.00
111.79
77.32
77.00
76.68
56.30
20.02
17.56
f1 (ppm)


-58.27
Me
H
N
O
NHPh
Me
F3CO
33
-20 -25 -30 -35 -40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135
f1 (ppm)


9.40 7.62 7.61 7.61 7.60 7.60 7.60 7.59 7.59 7.37 7.36 7.36 7.34 7.34 7.33 7.32 7.32 7.14 7.14 7.14 7.13 7.12 7.12 7.11 7.10 7.10 7.09 7.08 7.07 7.06 7.05 7.04 7.03 7.02 6.97 6.95 6.93 3.75 3.73 3.71 3.69 3.44 2.71 2.69 2.68 2.67 2.66 2.65 2.64 2.63 2.61 2.59 2.31 1.52 1.50 1.27 1.26 1.24 -0.00
Et
H
N
O
NHPh
Me
Me
34
0.98 2.01 2.06 1.02 2.02 1.01 1.00 0.93 2.09 3.10 3.05 3.12
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)
172.32
143.53 137.57 135.14 129.38 129.31 129.03 127.11 124.29 123.11 119.46
77.32 77.00 76.68
59.27
24.44 19.61 19.03 14.76
Et
H
N
O
NHPh
Me
Me
34
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.31
7.64
7.63
7.63
7.62
7.62
7.61
7.43
7.42
7.41
7.40
7.37
7.37
7.36
7.35
7.34
7.33
7.16
7.15
7.15
7.14
7.13
7.12
7.12
7.12
7.12
7.11
7.11
7.10
7.10
6.85
6.83
6.81
3.97
3.95
3.90
3.89
3.87
3.86
3.85
3.85
3.83
2.34
1.58
1.56
0.00
Br
H
N
O
NHPh
Me
Me
35
1.10
2.07
1.04
2.05
2.12
1.05
1.01
1.09
3.08
3.01
fl (ppm)


171.85
143.53
137.55
131.19
131.07
130.91
129.06
124.36
123.96
119.50
117.74
77.32
77.00
76.68
58.89
19.65
19.45
Br
H
N
O
NHPh
Me
Me
35
fl (ppm)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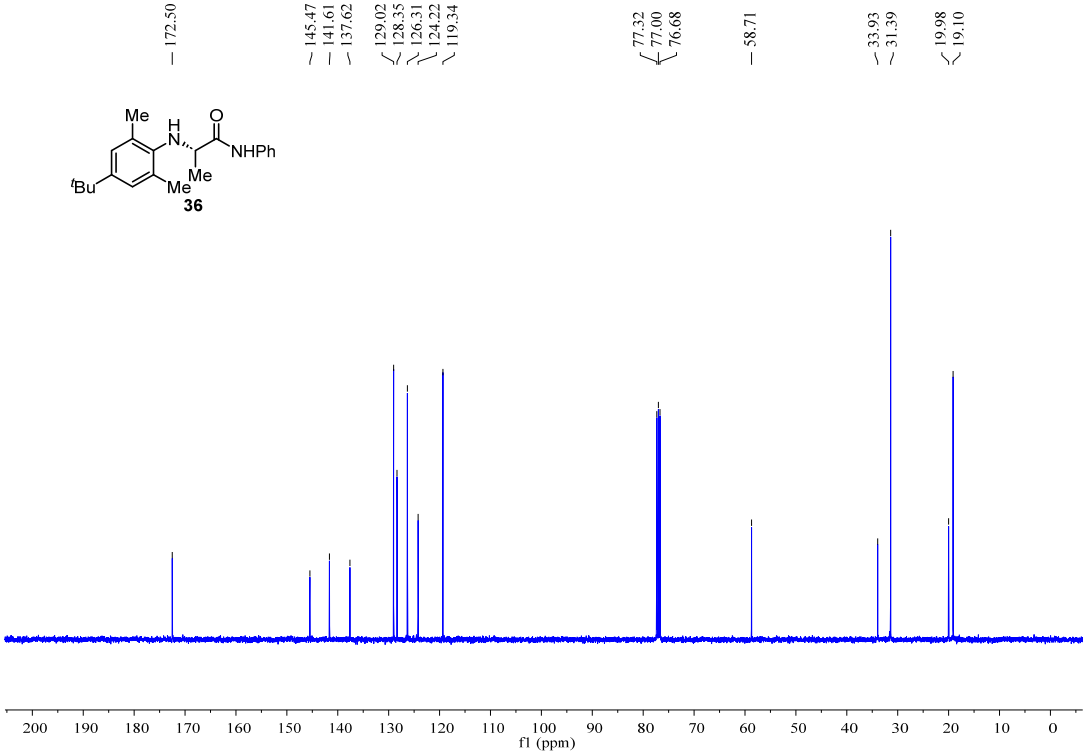
7.61
7.61
7.60
7.59
7.59
7.59
7.59
7.35
7.35
7.34
7.33
7.32
7.31
7.14
7.13
7.13
7.12
7.11
7.11
7.10
7.10
7.09
7.04
3.75
3.74
3.72
3.70
3.30
2.31
1.53
1.51
1.29
0.00
Me
H
N
O
NHPh
Me
tBu
Me
36
1.01
2.00
2.04
1.01
1.99
1.00
0.94
6.13
2.98
8.92
fl (ppm)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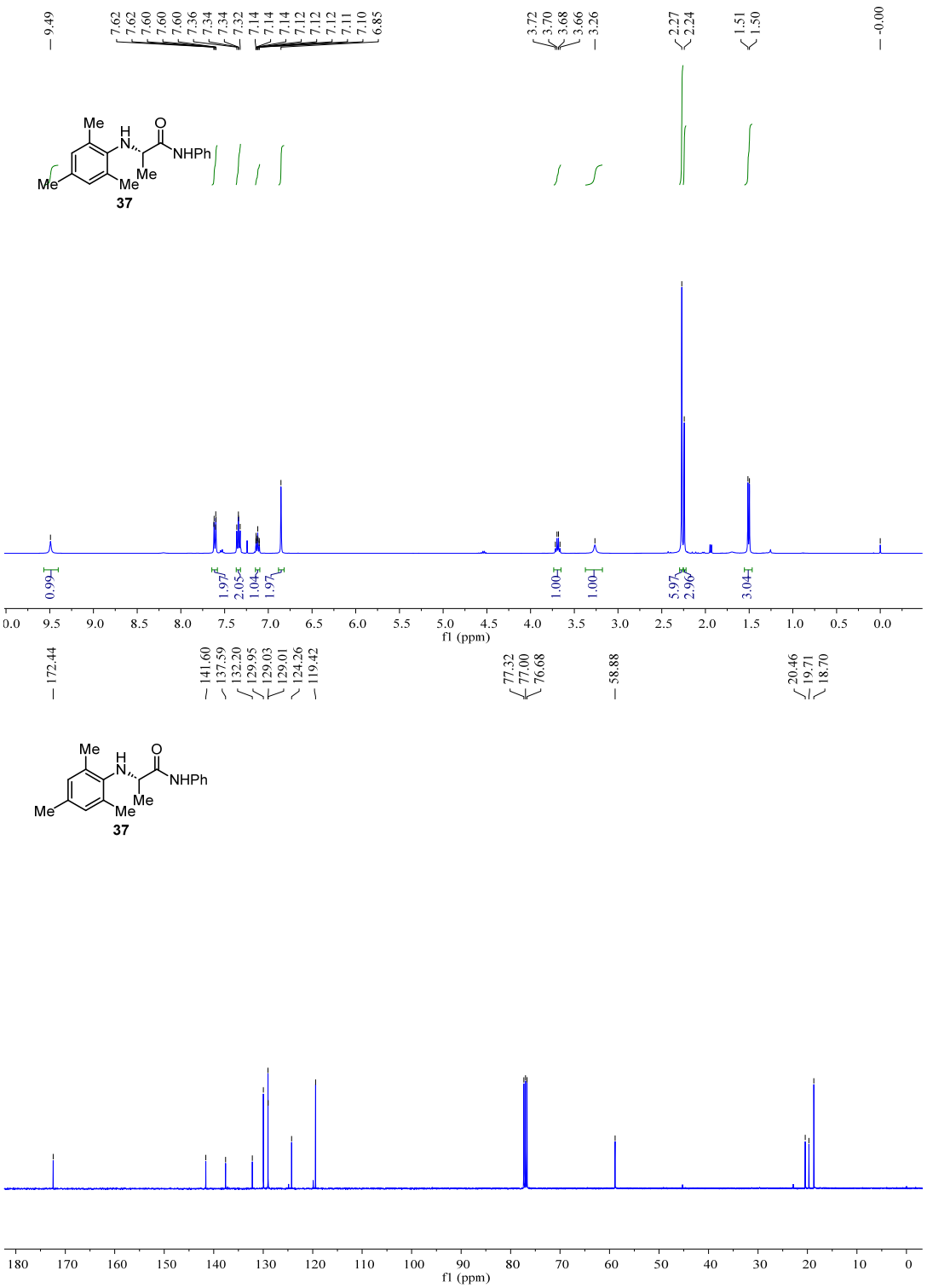
145.47
141.61
137.62
129.02
128.35
126.31
124.22
119.34
77.32
77.00
76.68
58.71
33.93
31.39
19.98
19.10
Me
H
N
O
NHPh
Me
tBu
Me
36
fl (ppm)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7.60
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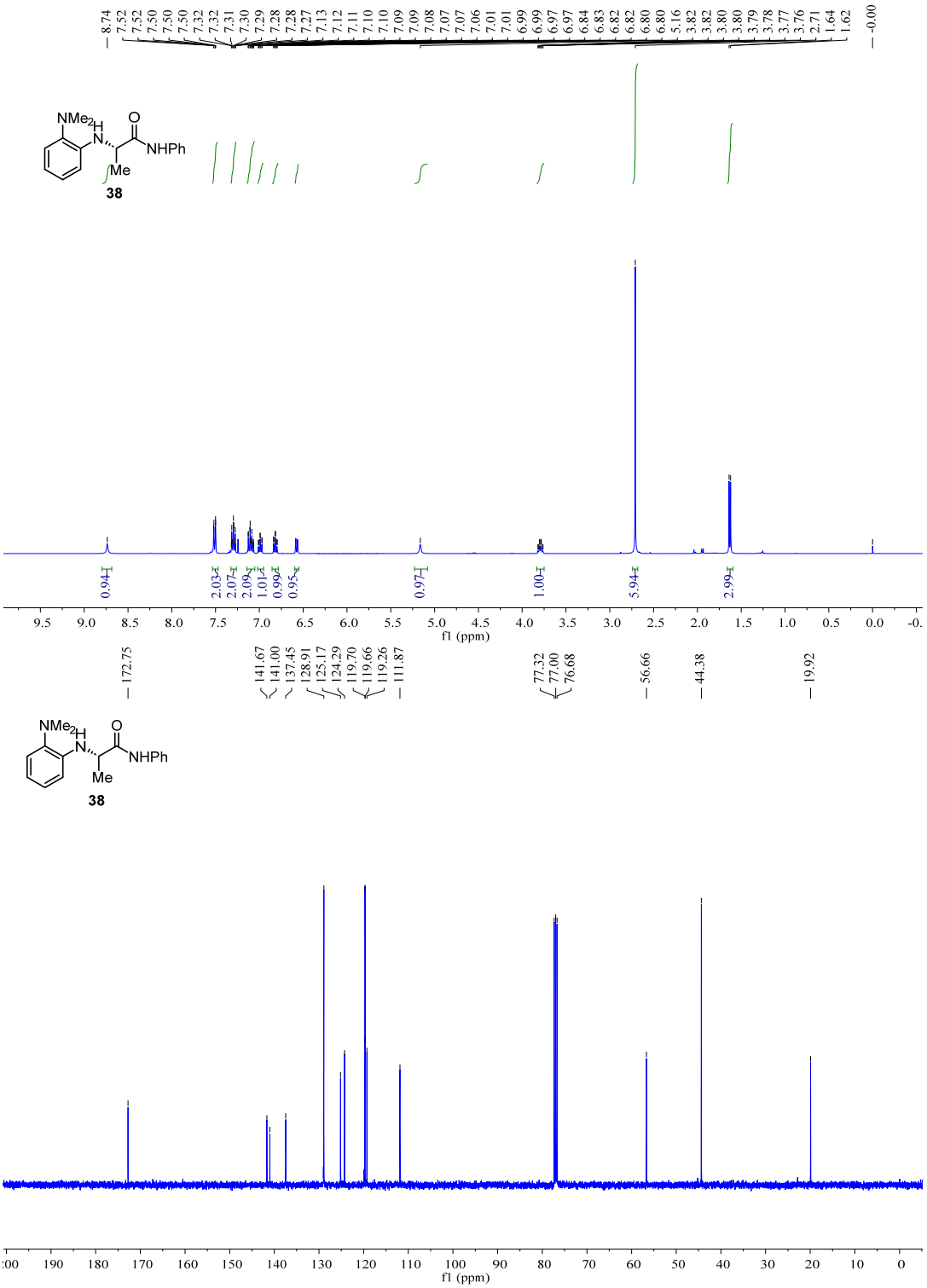
7.34
7.34
7.32
7.14
7.14
7.14
7.12
7.12
7.12
7.11
7.10
6.85
3.72
3.70
3.68
3.66
3.26
2.27
2.24
1.51
1.50
0.00
Me
H
N
O
NHPh
Me
Me
Me
37
0.99
1.97
2.05
1.04
1.97
1.00
1.00
5.97
2.96
3.04
f1 (ppm)
172.44
141.60
137.59
132.20
129.95
129.03
129.01
124.26
119.42
77.32
77.00
76.68
58.88
20.46
19.71
18.70
f1 (ppm)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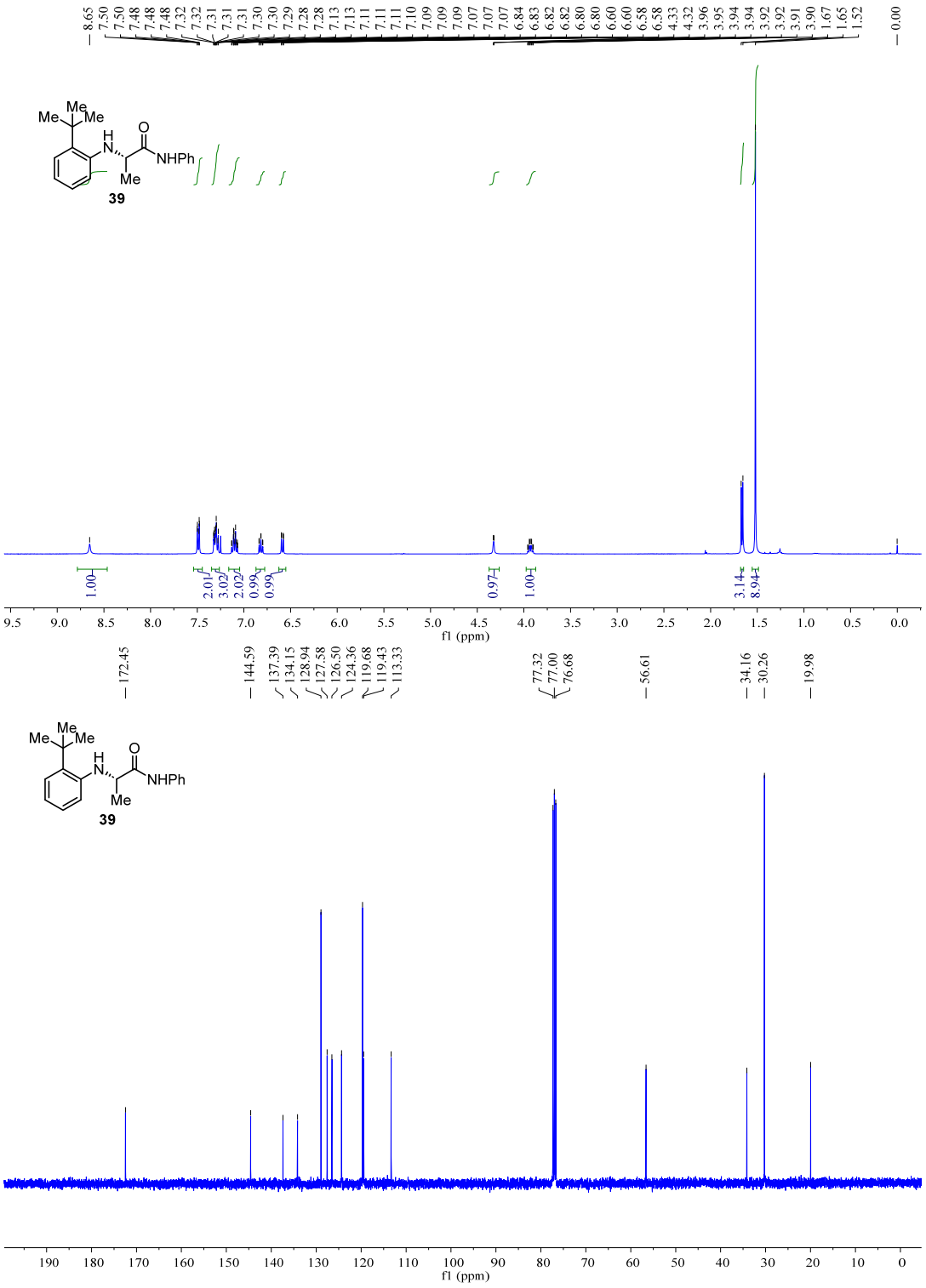
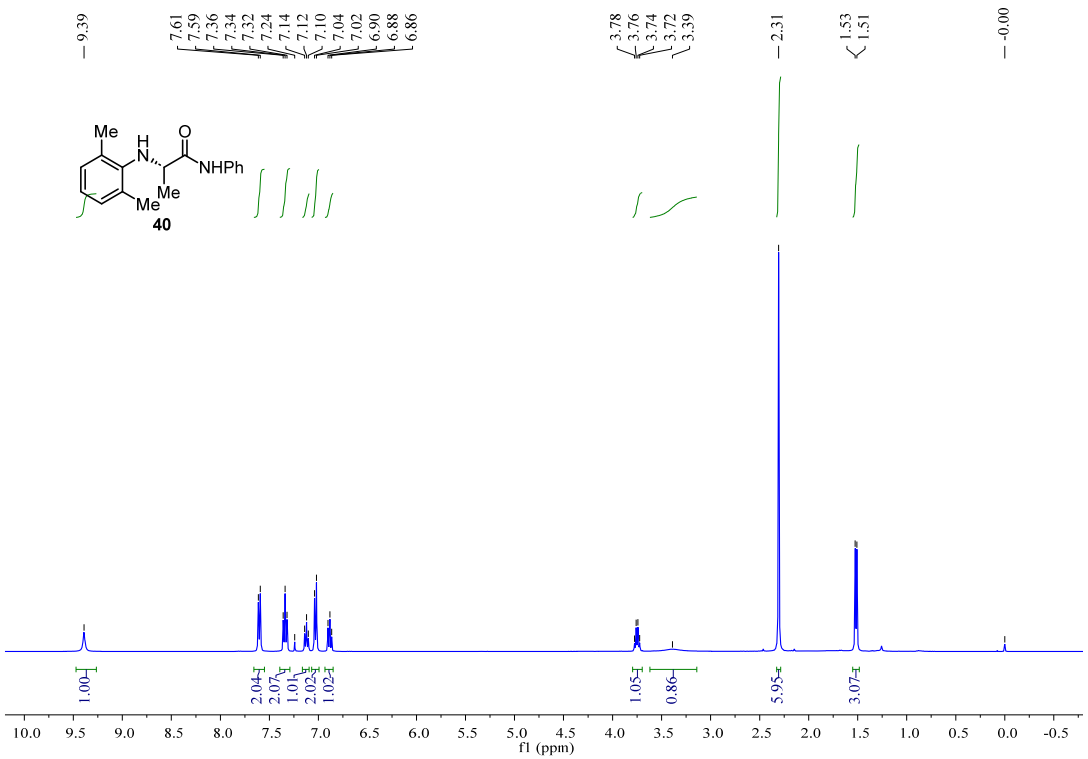
7.52
7.50
7.50
7.50
7.32
7.32
7.31
7.30
7.29
7.28
7.28
7.27
7.13
7.12
7.11
7.10
7.10
7.09
7.09
7.08
7.07
7.07
7.06
7.01
7.01
6.99
6.99
6.97
6.97
6.84
6.83
6.82
6.82
6.80
6.80
5.16
3.82
3.82
3.80
3.80
3.79
3.78
3.77
3.76
2.71
1.64
1.62
-0.00
NMe2
H
N
O
NHPh
Me
38
0.94
2.03
2.07
2.09
1.01
0.99
0.95
0.97
1.00
5.94
2.99
f1 (ppm)
172.75
141.67
141.00
137.45
128.91
125.17
124.29
119.70
119.66
119.26
111.87
77.32
77.00
76.68
56.66
44.38
19.92
NMe2
H
N
O
NHPh
Me
38
f1 (ppm)


8.65 7.50 7.50 7.48 7.48 7.48 7.32 7.32 7.31 7.31 7.31 7.30 7.30 7.29 7.28 7.28 7.13 7.13 7.11 7.11 7.11 7.10 7.09 7.09 7.09 7.07 7.07 7.07 6.84 6.83 6.82 6.82 6.80 6.80 6.60 6.60 6.58 6.58 4.33 4.32 3.96 3.95 3.94 3.94 3.92 3.92 3.91 3.90 1.67 1.65 1.52 0.00
Me Me Me H N O NHPh Me
39
1.00 2.01 3.02 2.02 0.99 0.99 0.97 1.00 3.14 8.94
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)
172.45 144.59 137.39 134.15 128.94 127.58 126.50 124.36 119.68 119.43 113.33 77.32 77.00 76.68 56.61 34.16 30.26 19.98
Me Me Me H N O NHPh Me
39
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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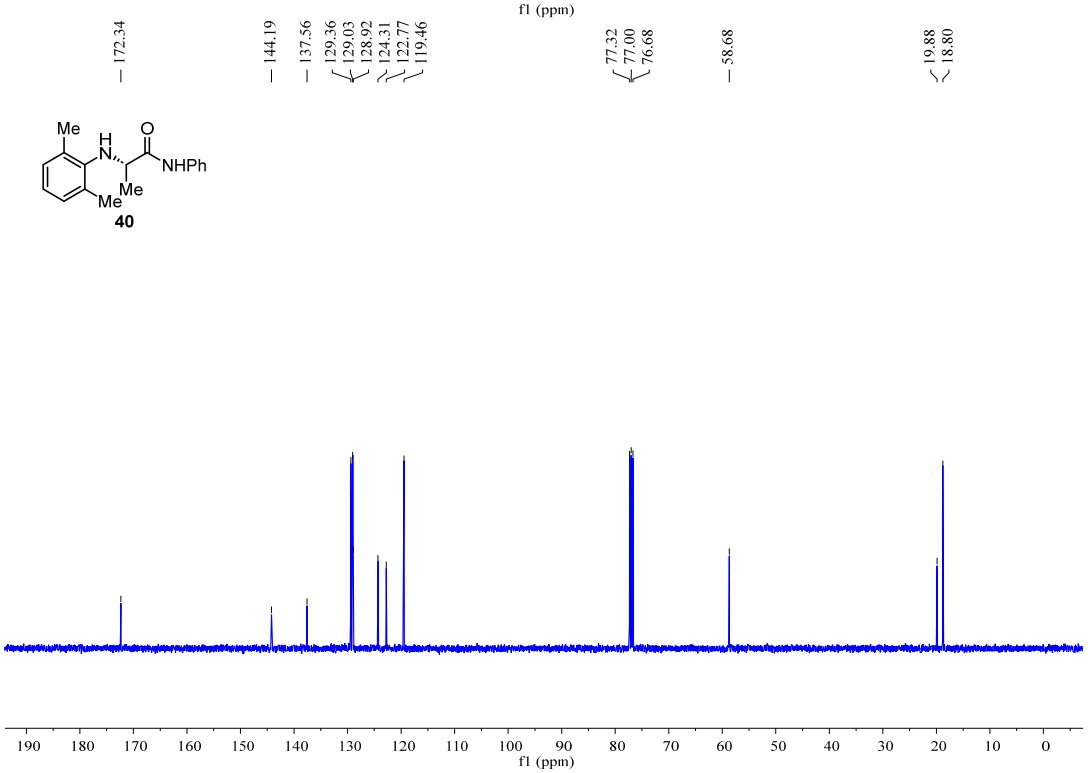
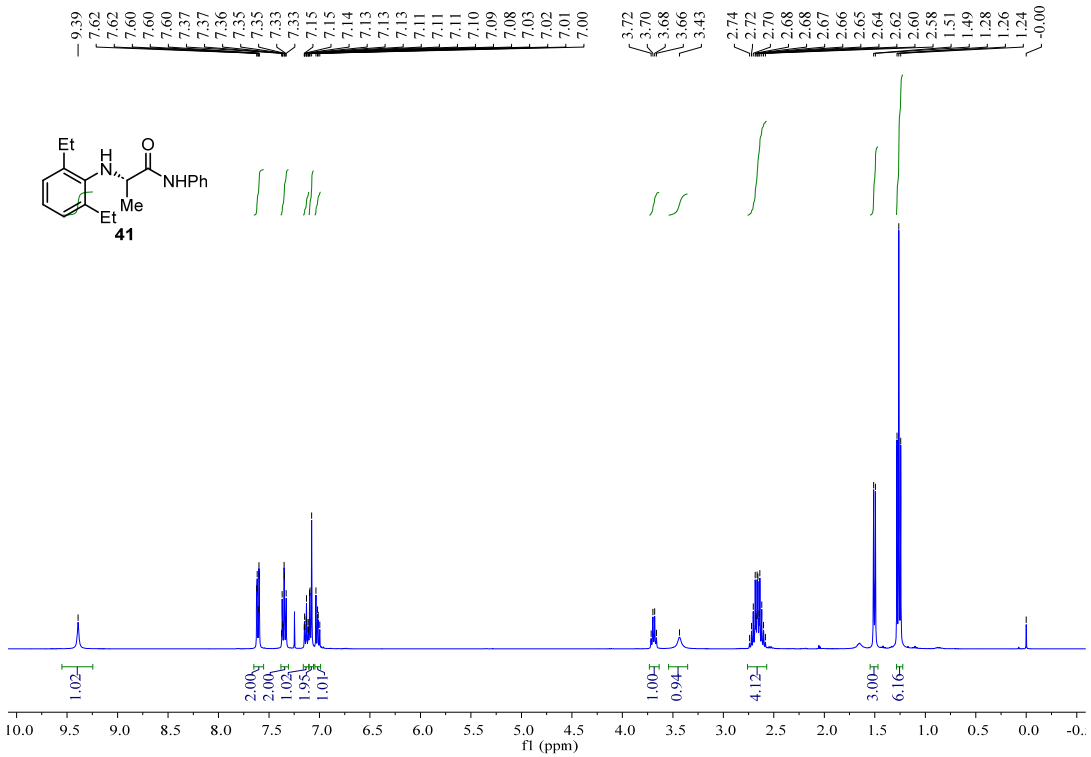
7.36
7.34
7.32
7.24
7.14
7.12
7.10
7.04
7.02
6.90
6.88
6.86
3.78
3.76
3.74
3.72
3.39
2.31
1.53
1.51
0.00
Me
H
N
O
NHPh
Me
Me
40
1.00
2.04
2.07
1.01
2.02
1.02
1.05
0.86
5.95
3.07
f1 (ppm)


172.34
144.19
137.56
129.36
129.03
128.92
124.31
122.77
119.46
77.32
77.00
76.68
58.68
19.88
18.80
Me
H
N
O
NHPh
Me
Me
40
f1 (ppm)


9.39
7.62
7.62
7.60
7.60
7.60
7.60
7.37
7.37
7.36
7.35
7.35
7.33
7.33
7.15
7.15
7.14
7.13
7.13
7.13
7.11
7.11
7.11
7.10
7.09
7.08
7.03
7.02
7.01
7.00
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3.68
3.66
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2.72
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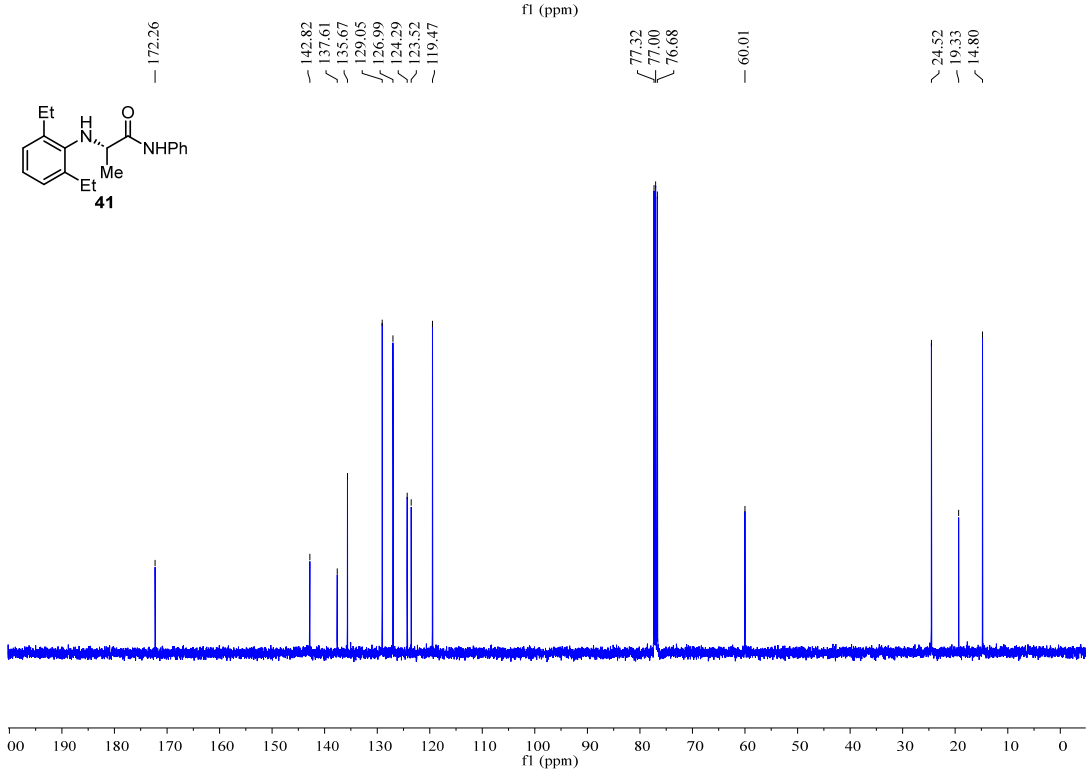
2.67
2.66
2.65
2.64
2.62
2.60
2.58
1.51
1.49
1.28
1.26
1.24
-0.00
Et
H
N
O
NHPh
Me
Et
41
1.02
2.00
2.00
1.02
1.95
1.01
1.00
0.94
4.12
3.00
6.16
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.26
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135.67
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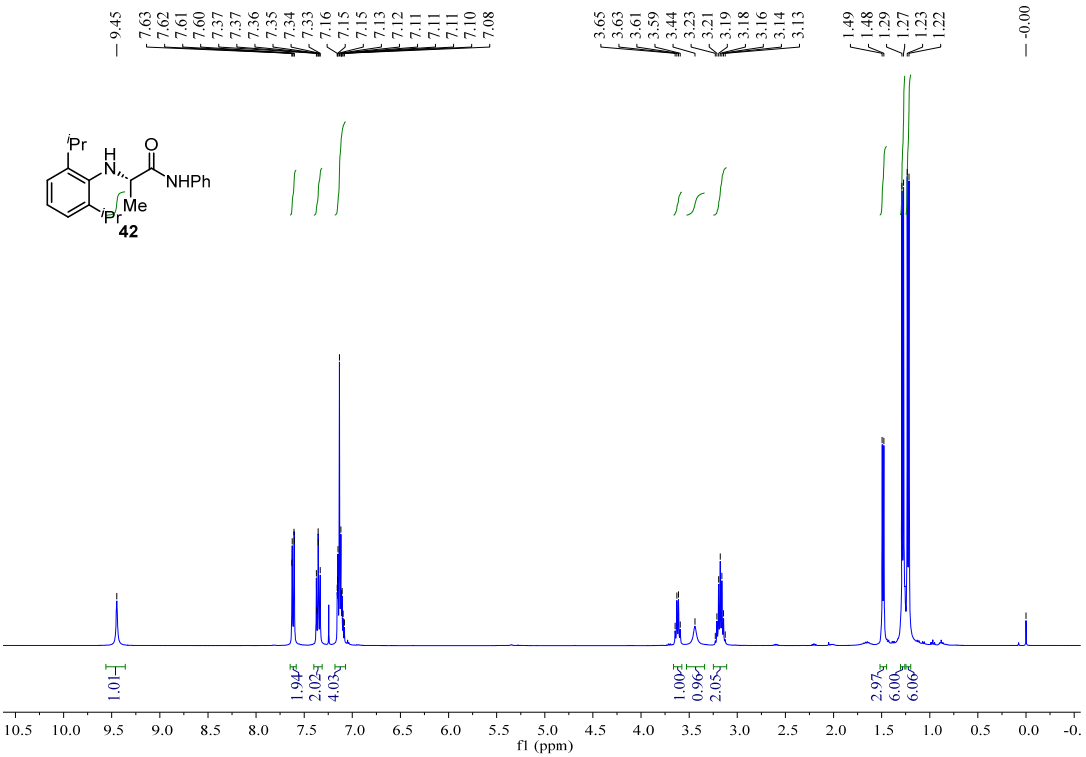
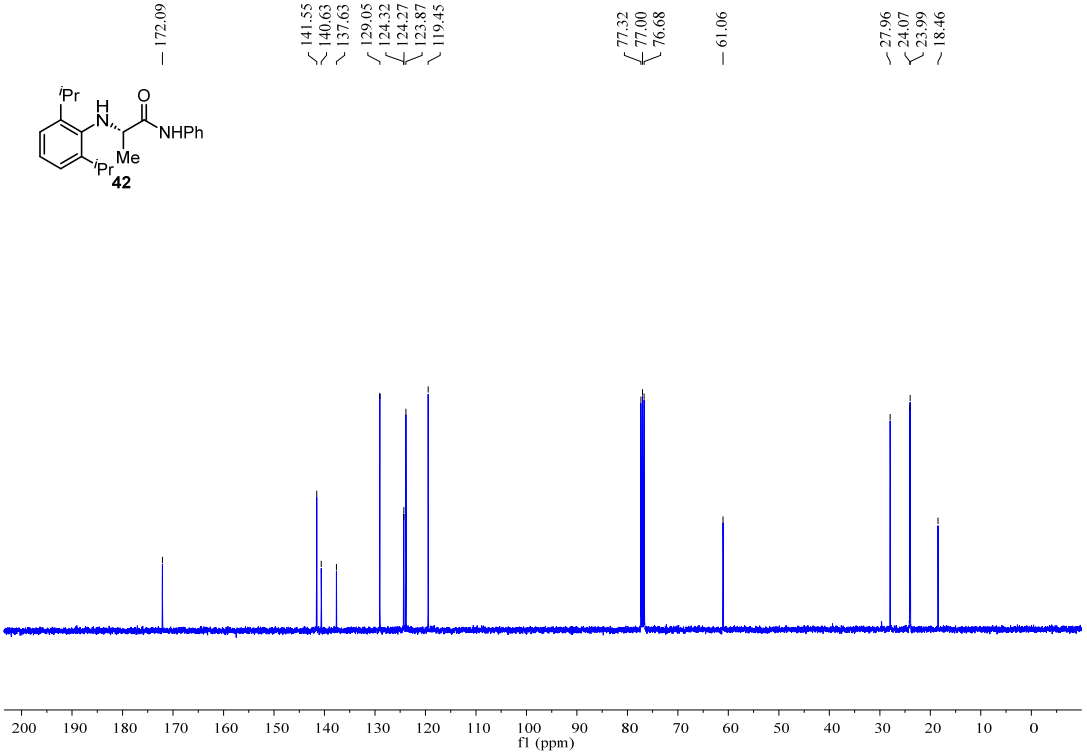
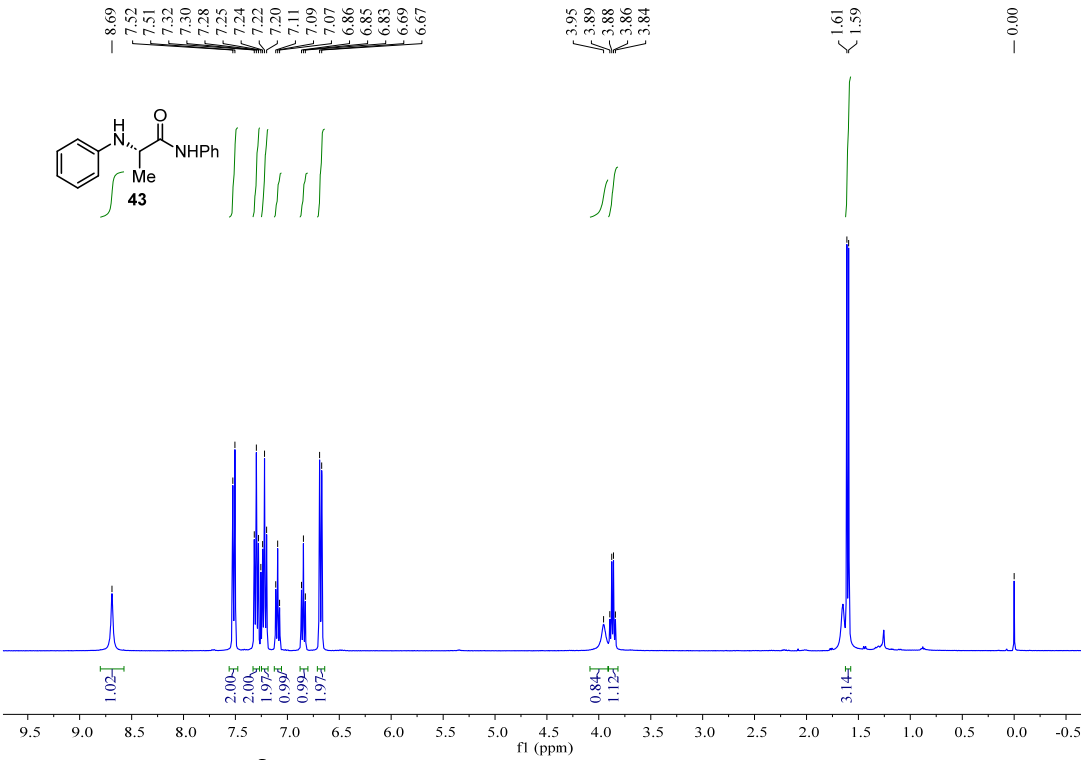
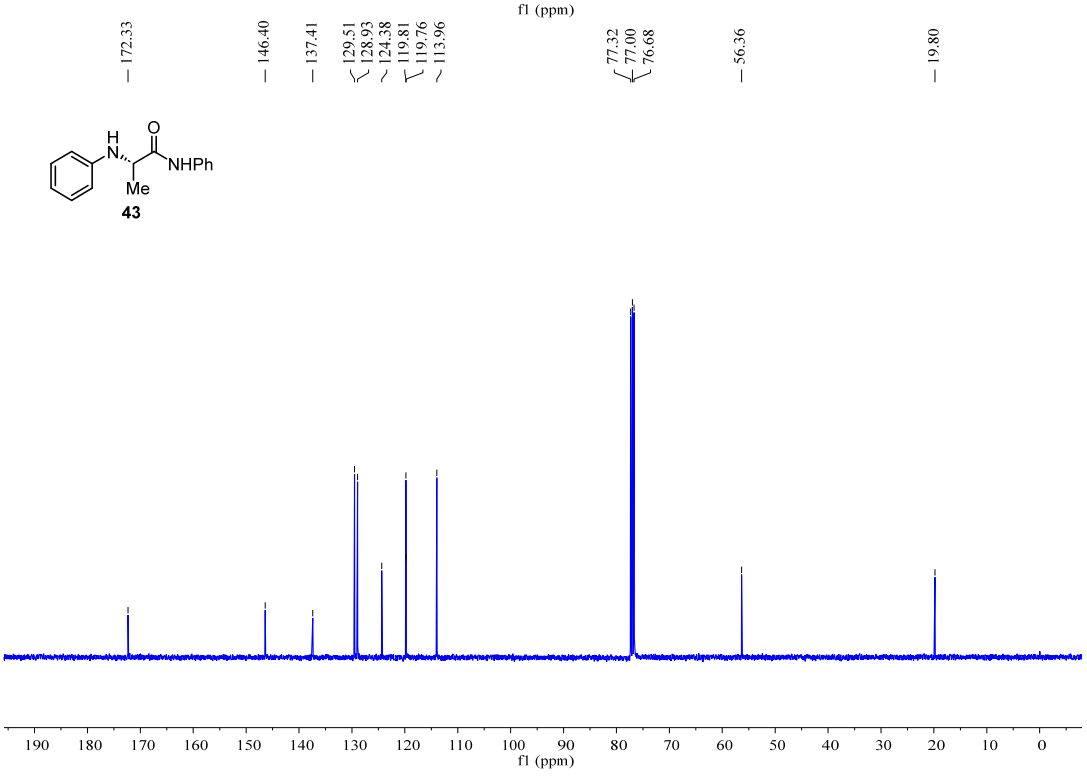
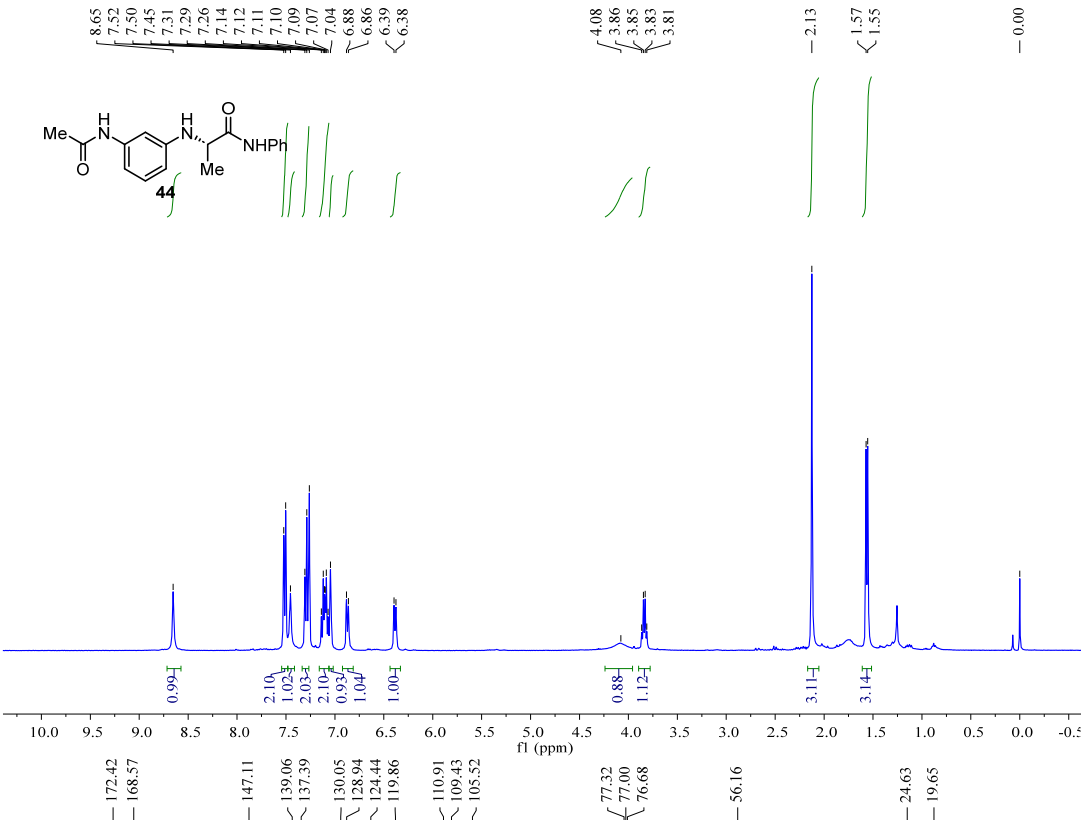
126.99
124.29
123.52
119.47
77.32
77.00
76.68
60.01
24.52
19.33
14.80
Et
H
N
O
NHPh
Me
Et
41
00
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


9.45
7.63
7.62
7.61
7.60
7.37
7.37
7.36
7.35
7.34
7.33
7.16
7.15
7.15
7.13
7.12
7.11
7.11
7.11
7.10
7.08
3.65
3.63
3.61
3.59
3.44
3.23
3.21
3.19
3.18
3.16
3.14
3.13
1.49
1.48
1.29
1.27
1.23
1.22
-0.00
iPr
H
N
O
NHPh
Me
iPr
42
1.01
1.94
2.02
4.03
1.00
0.96
2.05
2.97
6.00
6.06
f1 (ppm)


172.09
141.55
140.63
137.63
129.05
124.32
124.27
123.87
119.45
77.32
77.00
76.68
61.06
27.96
24.07
23.99
18.46
iPr
H
N
O
NHPh
Me
iPr
42
f1 (ppm)


8.69
7.52
7.51
7.32
7.30
7.28
7.25
7.24
7.22
7.20
7.11
7.09
7.07
6.86
6.85
6.83
6.69
6.67
3.95
3.89
3.88
3.86
3.84
1.61
1.59
0.00
NHPh
Me
43
1.02
2.00
2.00
1.97
0.99
0.99
1.97
0.84
1.12
3.14
f1 (ppm)


172.33
146.40
137.41
129.51
128.93
124.38
119.81
119.76
113.96
77.32
77.00
76.68
56.36
19.80
NHPh
Me
43
f1 (ppm)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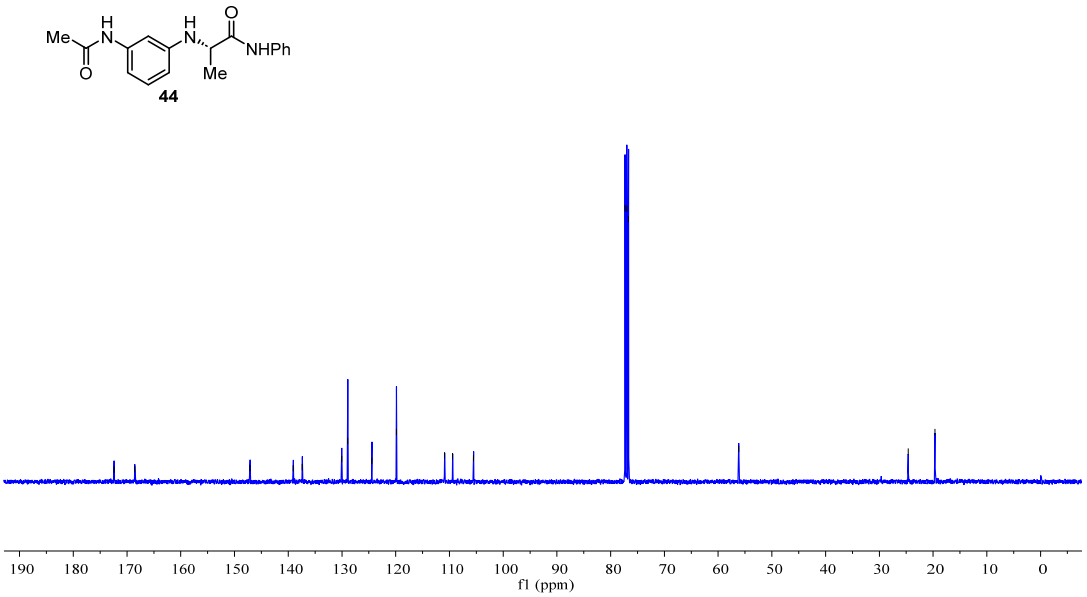
7.52
7.50
7.45
7.31
7.29
7.26
7.14
7.12
7.11
7.10
7.09
7.07
7.04
6.88
6.86
6.39
6.38
4.08
3.86
3.85
3.83
3.81
2.13
1.57
1.55
0.00
Me
NHPh
Me
44
0.99
2.10
1.02
2.03
2.10
0.93
1.04
1.00
0.88
1.12
3.11
3.14
fl (ppm)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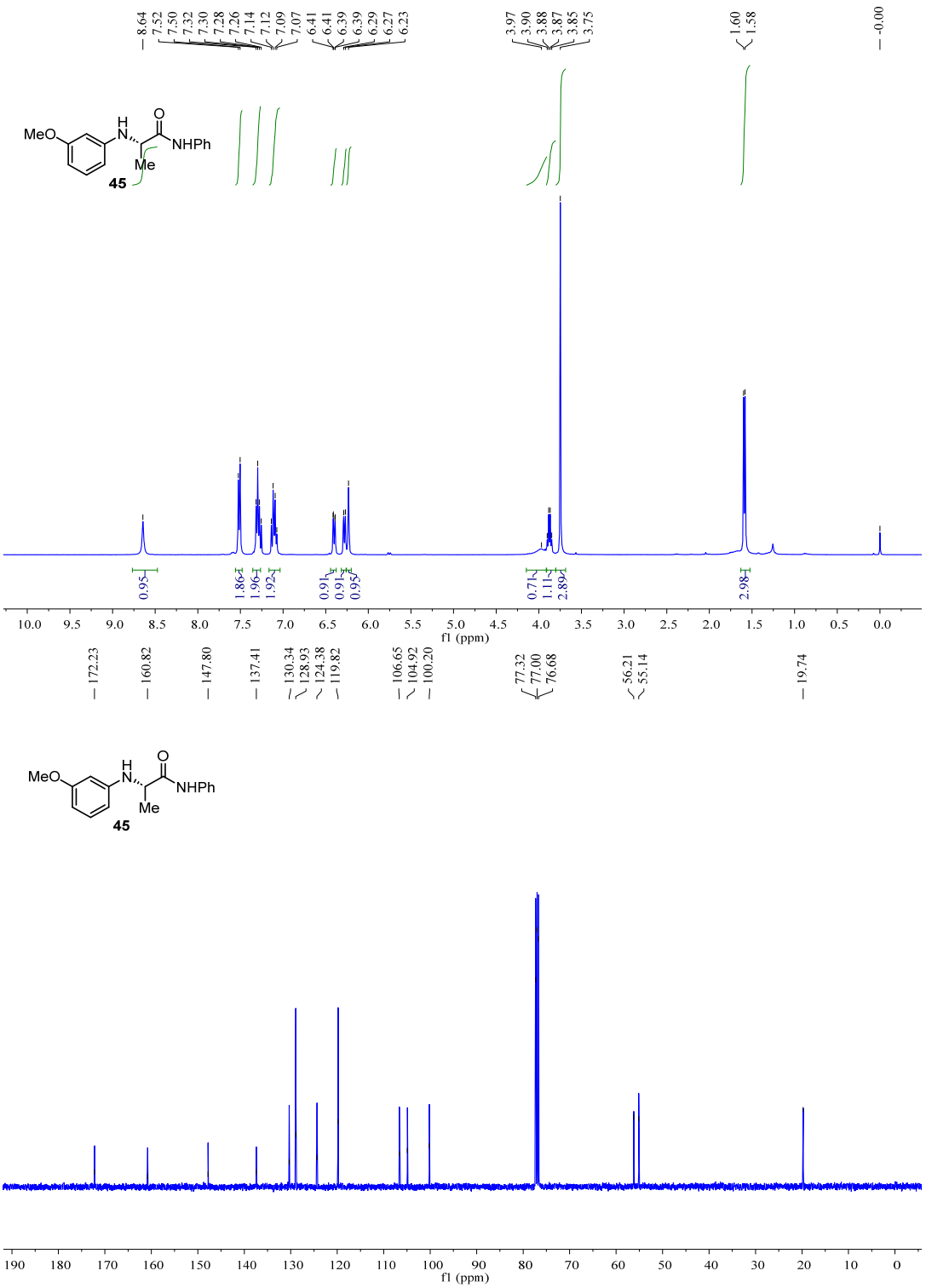
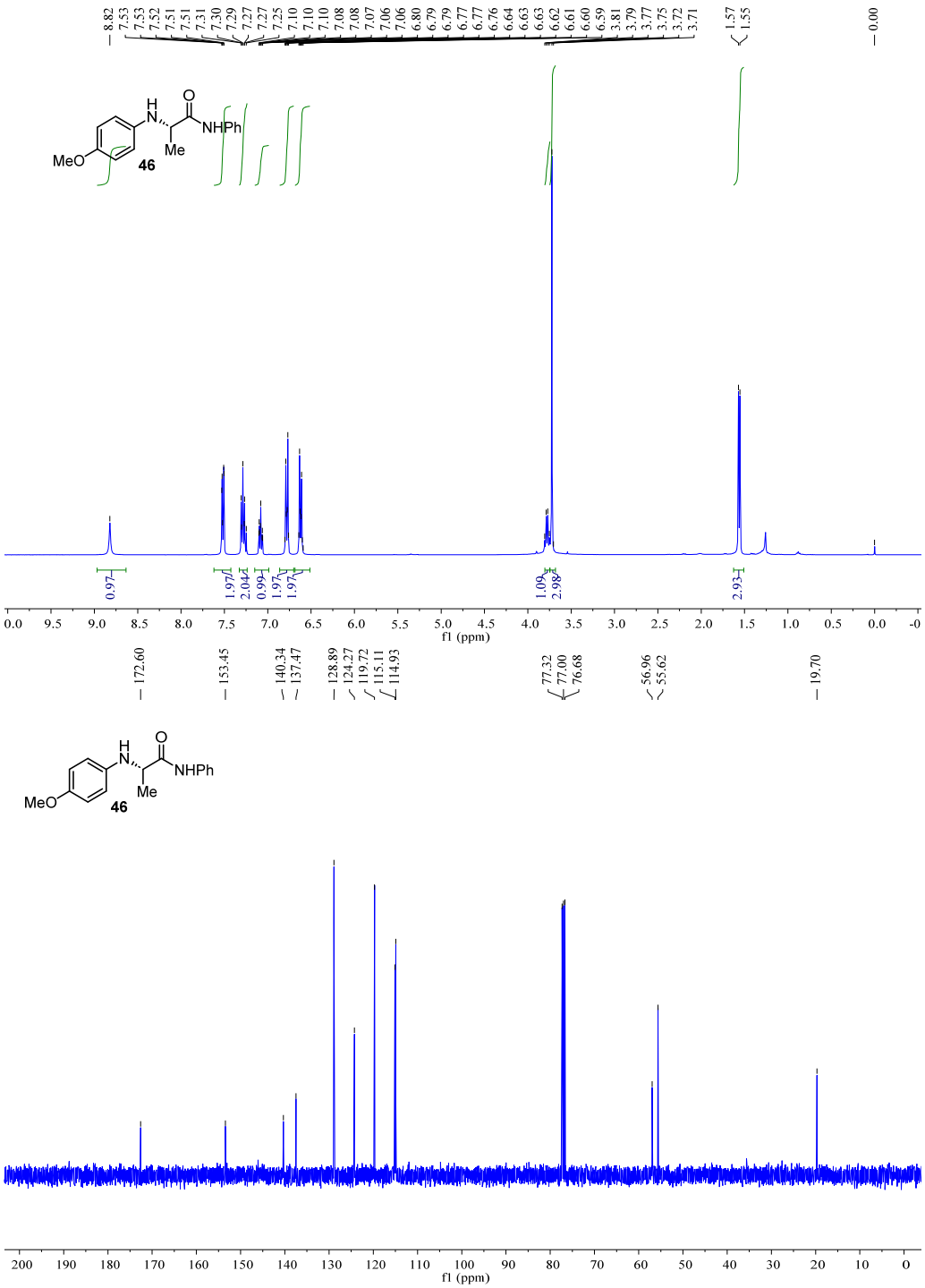
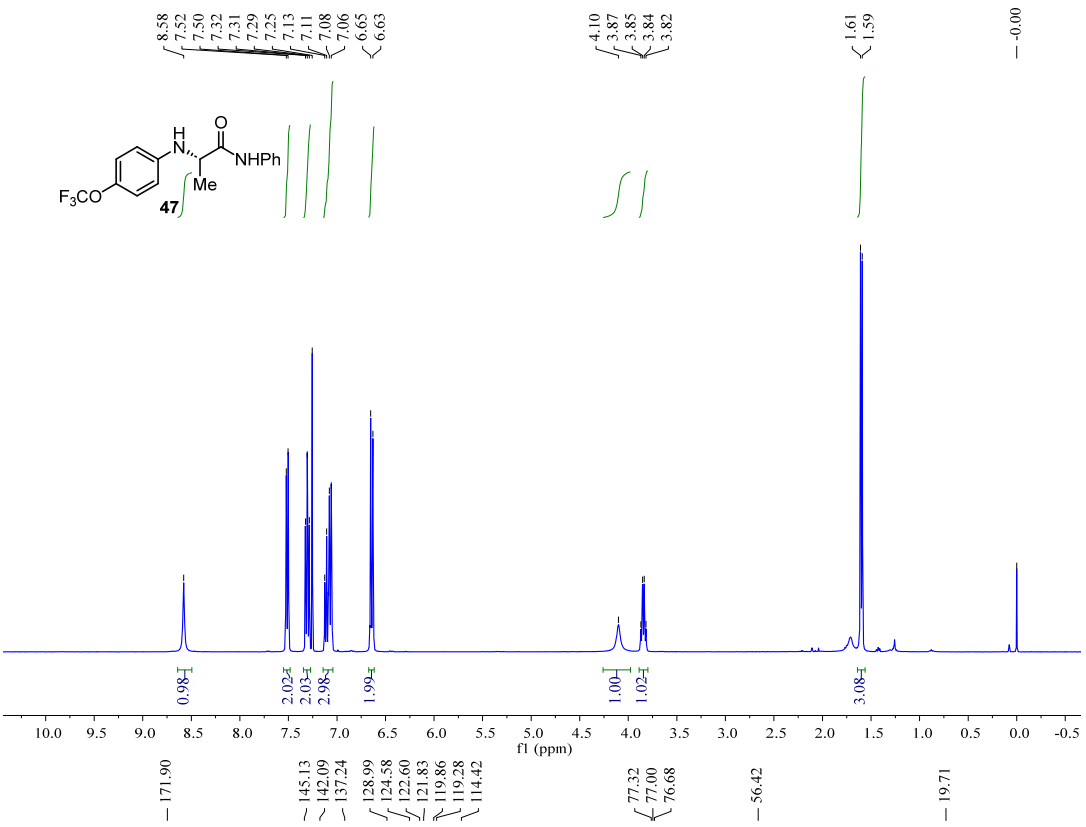
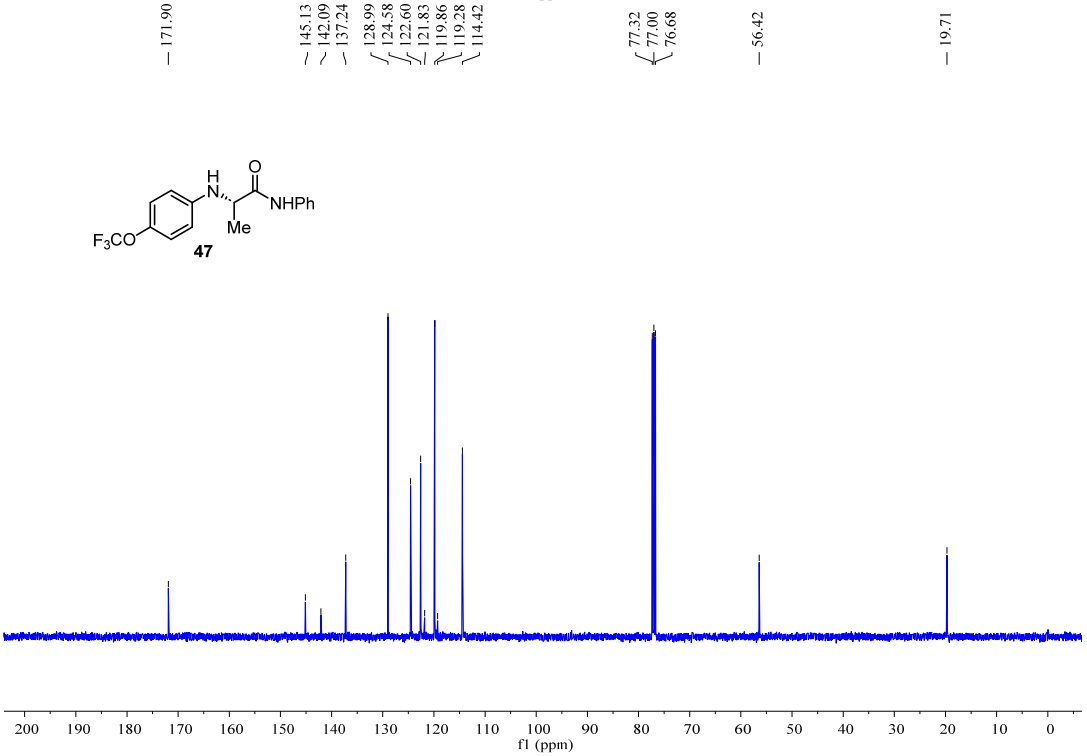
168.57
147.11
139.06
137.39
130.05
128.94
124.44
119.86
110.91
109.43
105.52
77.32
77.00
76.68
56.16
24.63
19.65
Me
NHPh
Me
44
fl (ppm)


8.64
7.52
7.50
7.32
7.30
7.28
7.26
7.14
7.12
7.09
7.07
6.41
6.39
6.39
6.29
6.27
6.23
3.97
3.90
3.88
3.87
3.85
3.75
1.60
1.58
-0.00
MeO
NHPh
Me
45
0.95
1.86
1.96
1.92
0.91
0.91
0.95
0.71
1.11
2.89
2.98
f1 (ppm)
172.23
160.82
147.80
137.41
130.34
128.93
124.38
119.82
106.65
104.92
100.20
77.32
77.00
76.68
56.21
55.14
19.74
MeO
NHPh
Me
45
f1 (ppm)

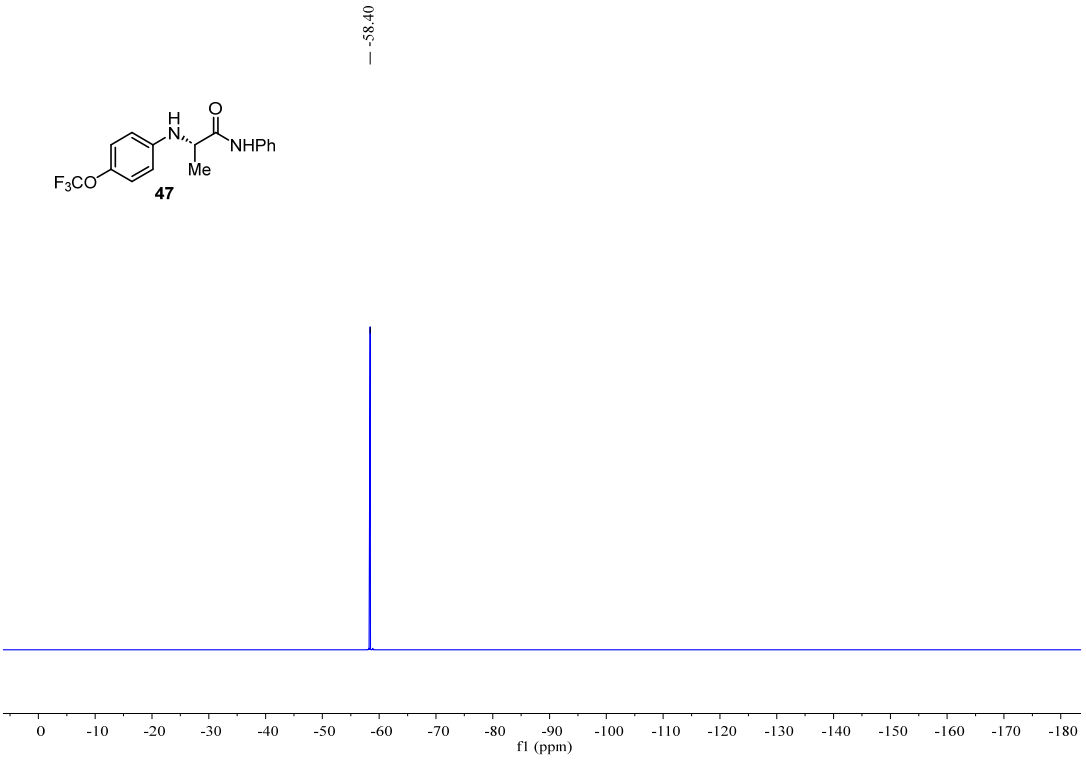

8.82
7.53
7.53
7.52
7.51
7.51
7.31
7.30
7.29
7.27
7.27
7.25
7.10
7.10
7.10
7.08
7.08
7.07
7.06
7.06
6.80
6.79
6.79
6.77
6.77
6.76
6.64
6.63
6.63
6.62
6.61
6.60
6.59
3.81
3.79
3.77
3.75
3.72
3.71
1.57
1.55
0.00
NHPh
Me
MeO
46
0.97
1.97
2.04
0.99
1.97
1.97
1.09
2.98
2.93
fl (ppm)
172.60
153.45
140.34
137.47
128.89
124.27
119.72
115.11
114.93
77.32
77.00
76.68
56.96
55.62
19.70
NHPh
Me
MeO
46
fl (ppm)

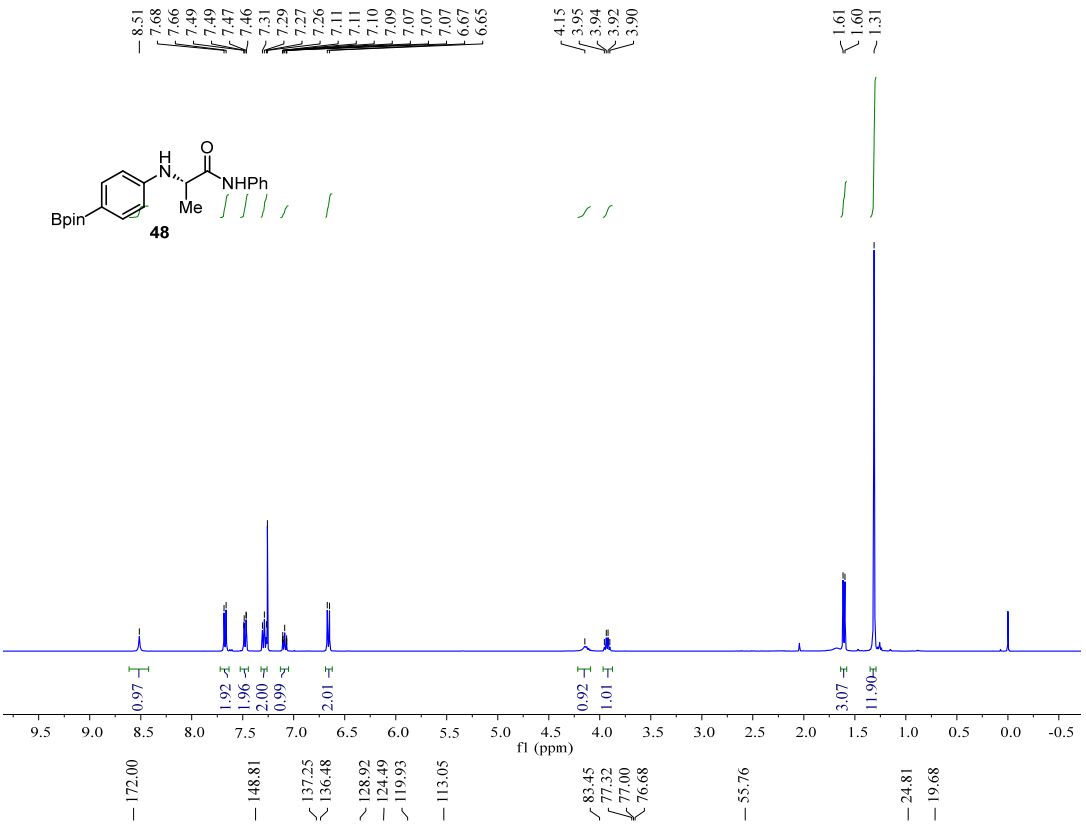

8.58
7.52
7.50
7.32
7.31
7.29
7.25
7.13
7.11
7.08
7.06
6.65
6.63
4.10
3.87
3.85
3.84
3.82
1.61
1.59
-0.00
NHPh
Me
F3CO
47
0.98
2.02
2.03
2.98
1.99
1.00
1.02
3.08
fl (ppm)

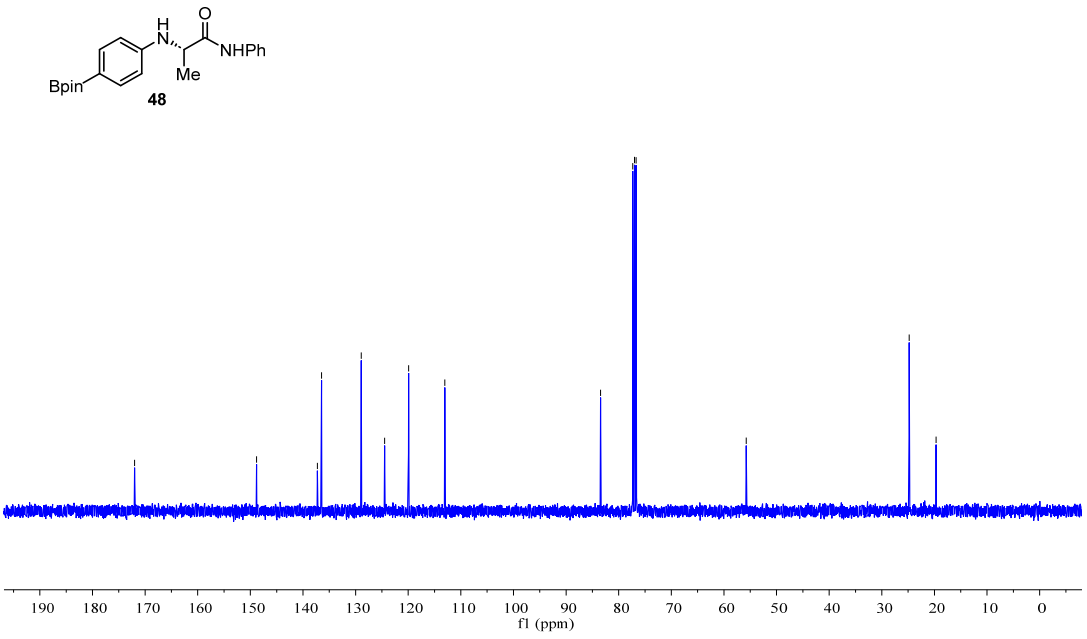

171.90
145.13
142.09
137.24
128.99
124.58
122.60
121.83
119.86
119.28
114.42
77.32
77.00
76.68
56.42
19.71
NHPh
Me
F3CO
47
fl (ppm)

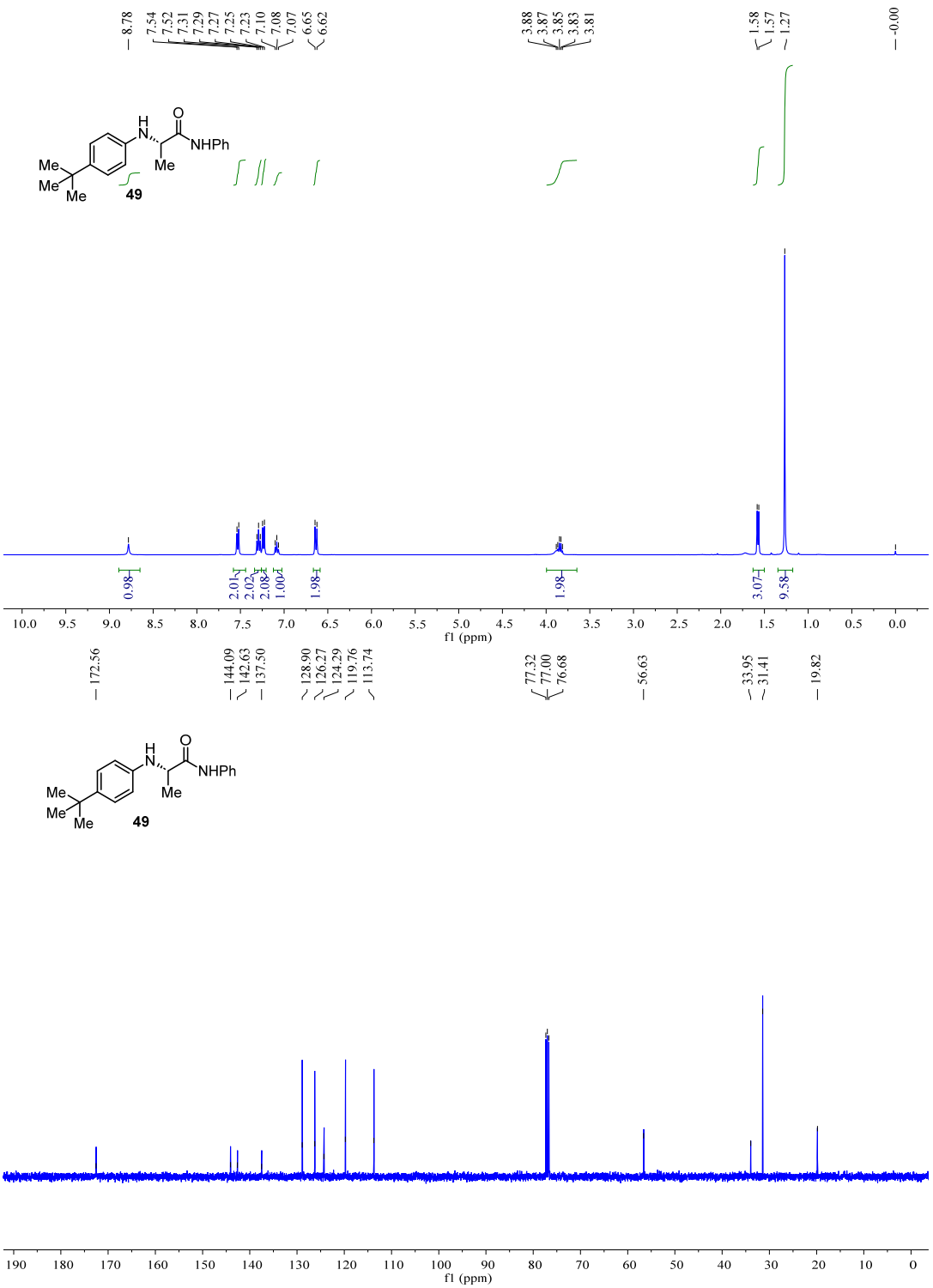
H
N
O
NHPh
Me
F3CO

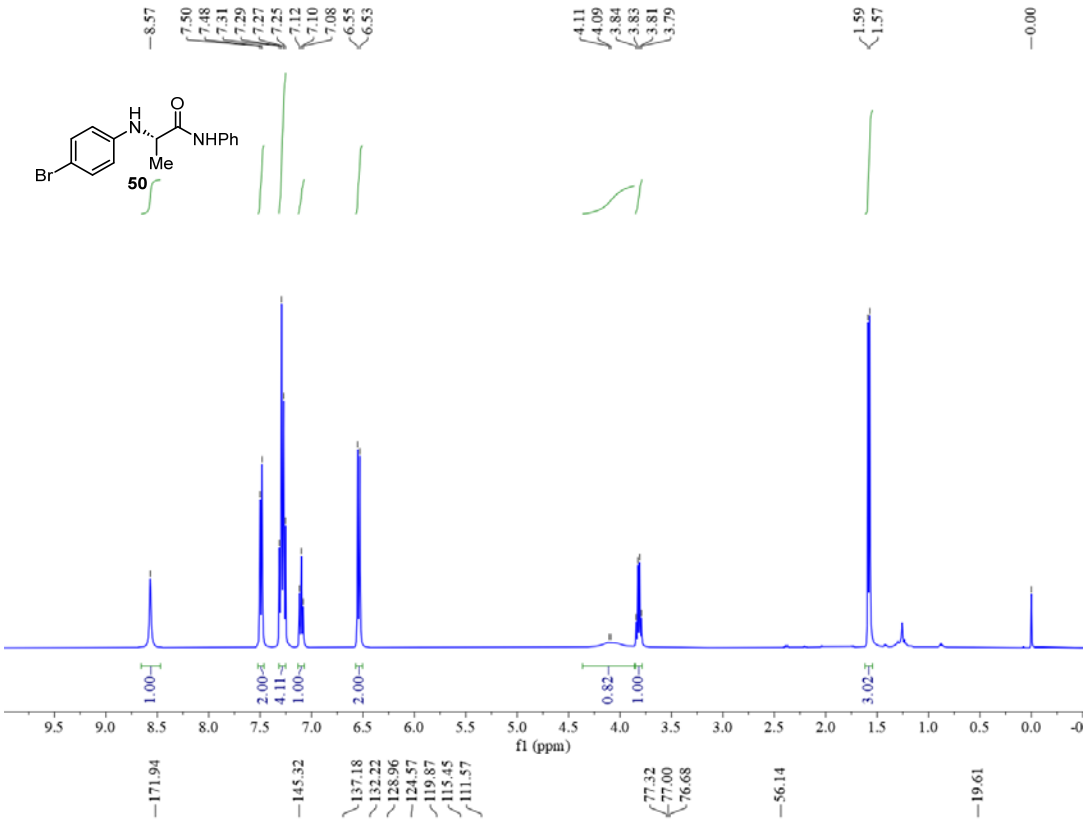
47

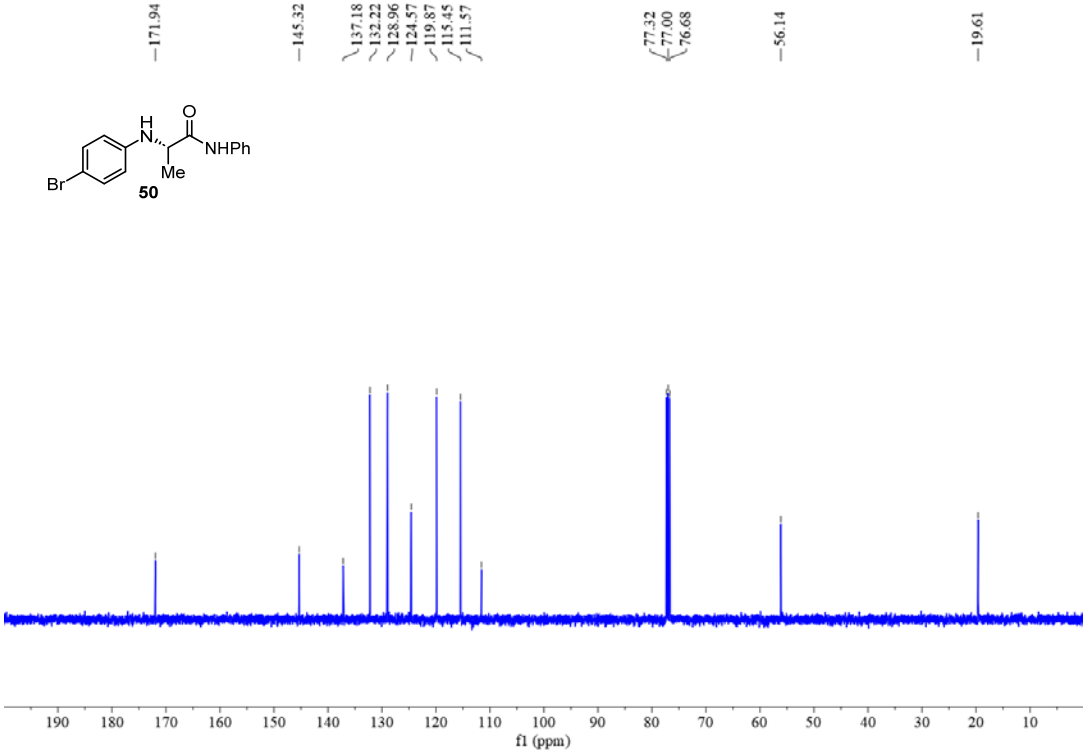

-58.40
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
f1 (ppm)

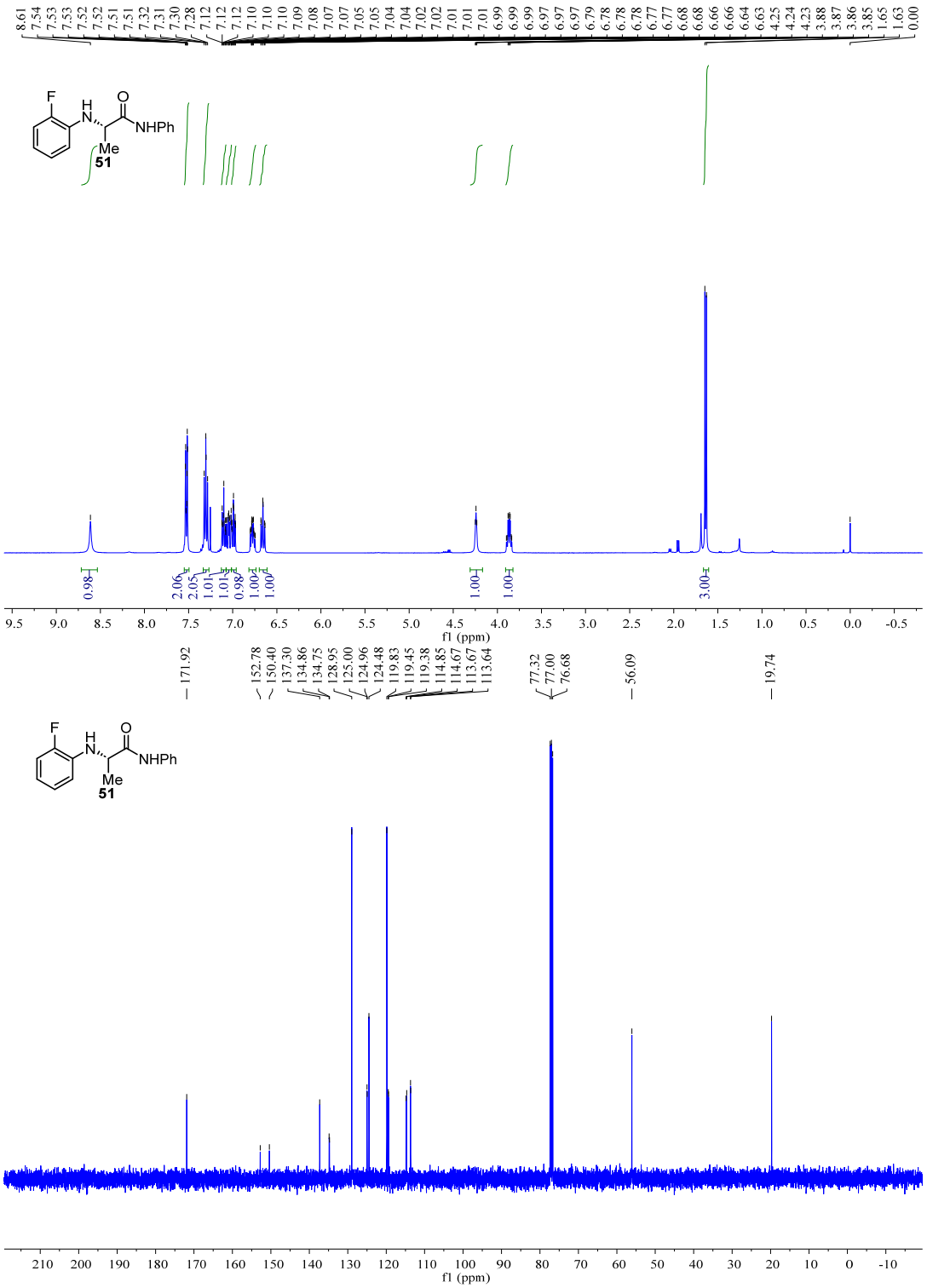

8.51
7.68
7.66
7.49
7.49
7.47
7.46
7.31
7.29
7.27
7.26
7.11
7.11
7.10
7.09
7.07
7.07
7.07
6.67
6.65
4.15
3.95
3.94
3.92
3.90
1.61
1.60
1.31
H
N
O
NHPh
Me
Bpin
48
0.97
1.92
1.96
2.00
0.99
2.01
0.92
1.01
3.07
11.90
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


172.00
148.81
137.25
136.48
128.92
124.49
119.93
113.05
83.45
77.32
77.00
76.68
55.76
24.81
19.68
H
N
O
NHPh
Me
Bpin
48
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


8.78
7.54
7.52
7.31
7.29
7.27
7.25
7.23
7.10
7.08
7.07
6.65
6.62
3.88
3.87
3.85
3.83
3.81
1.58
1.57
1.27
0.00
NHPh
Me
Me
Me
49
0.98
2.01
2.02
2.08
1.00
1.98
1.98
3.07
9.58
f1 (ppm)
172.56
144.09
142.63
137.50
128.90
126.27
124.29
119.76
113.74
77.32
77.00
76.68
56.63
33.95
31.41
19.82
NHPh
Me
Me
Me
49
f1 (ppm)

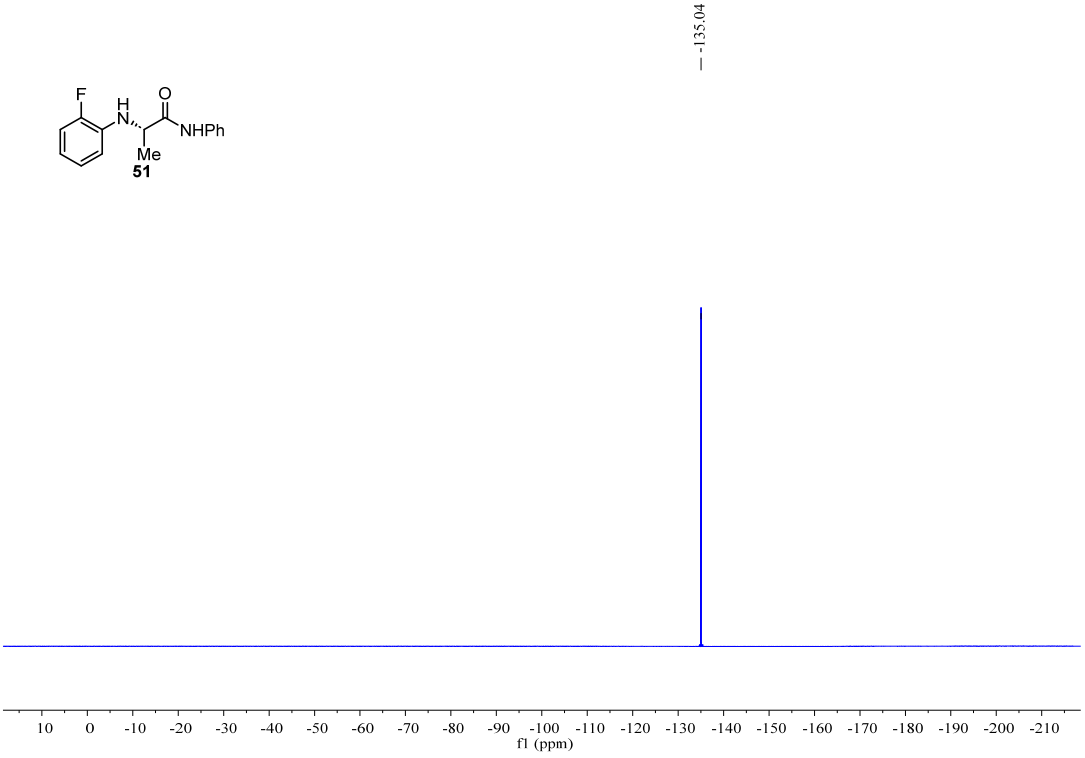

8.57
7.50
7.48
7.31
7.29
7.27
7.25
7.12
7.10
7.08
6.55
6.53
4.11
4.09
3.84
3.83
3.81
3.79
1.59
1.57
0.00
Br
NHPh
Me
50
1.00
2.00
4.11
1.00
2.00
0.82
1.00
3.02
f1 (ppm)

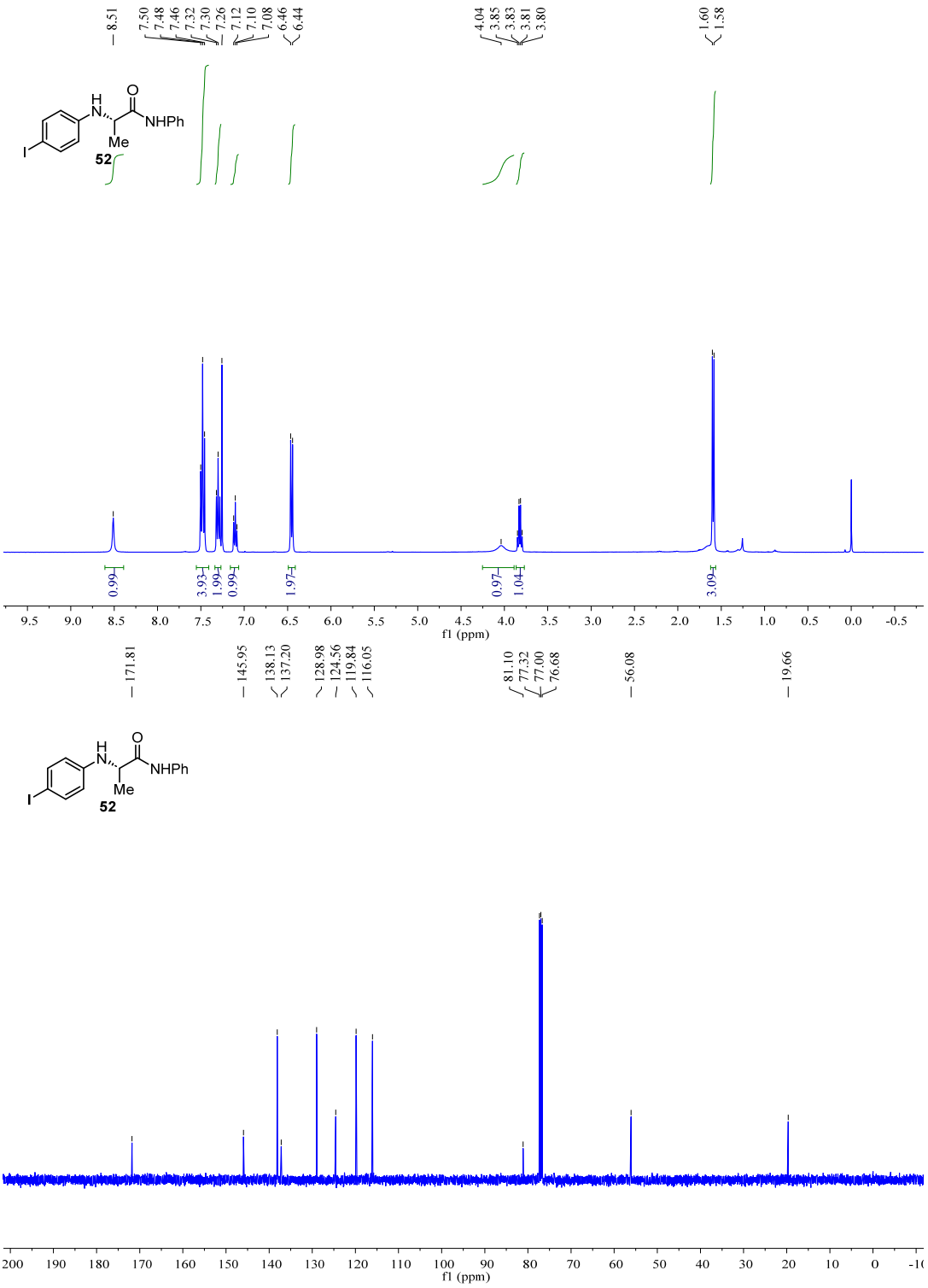

171.94
145.32
137.18
132.22
128.96
124.57
119.87
115.45
111.57
77.32
77.00
76.68
56.14
19.61
Br
NHPh
Me
50
f1 (ppm)

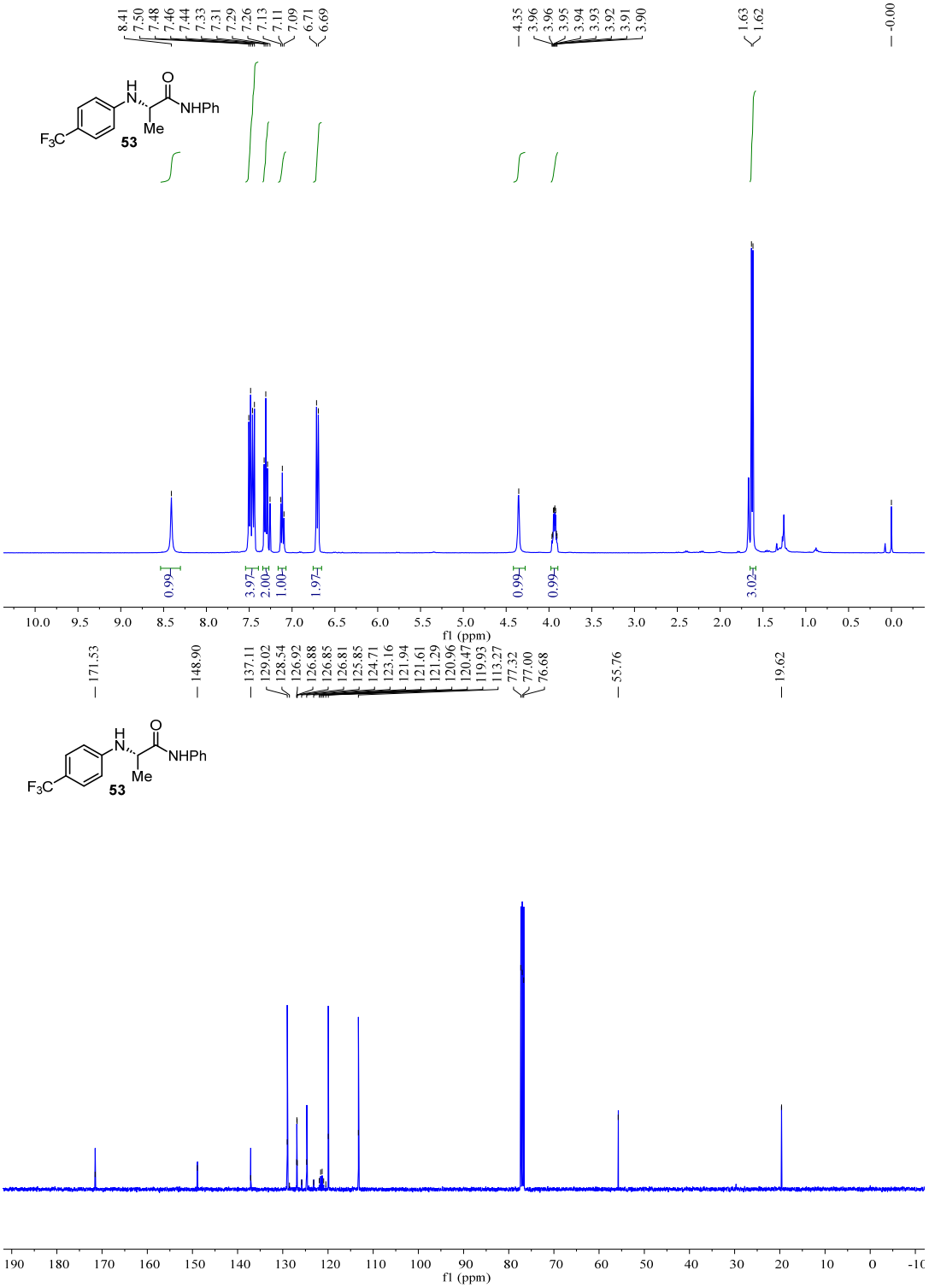

F
H
N
O
NHPh
Me
51
8.61 7.54 7.53 7.53 7.52 7.52 7.51 7.51 7.32 7.31 7.30 7.28 7.12 7.12 7.12 7.10 7.10 7.10 7.09 7.08 7.07 7.07 7.05 7.05 7.04 7.04 7.02 7.02 7.01 7.01 7.01 6.99 6.99 6.99 6.97 6.97 6.97 6.79 6.78 6.78 6.78 6.77 6.77 6.68 6.68 6.66 6.66 6.64 6.63 4.25 4.24 4.23 3.88 3.87 3.86 3.85 1.65 1.63 0.00
0.98 2.06 2.05 1.01 1.01 0.98 1.00 1.00 1.00 1.00 3.00
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 -0.5
f1 (ppm)
171.92 152.78 150.40 137.30 134.86 134.75 128.95 125.00 124.96 124.48 119.83 119.45 119.38 114.85 114.67 113.67 113.64 77.32 77.00 76.68 56.09 19.74
F
H
N
O
NHPh
Me
51
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

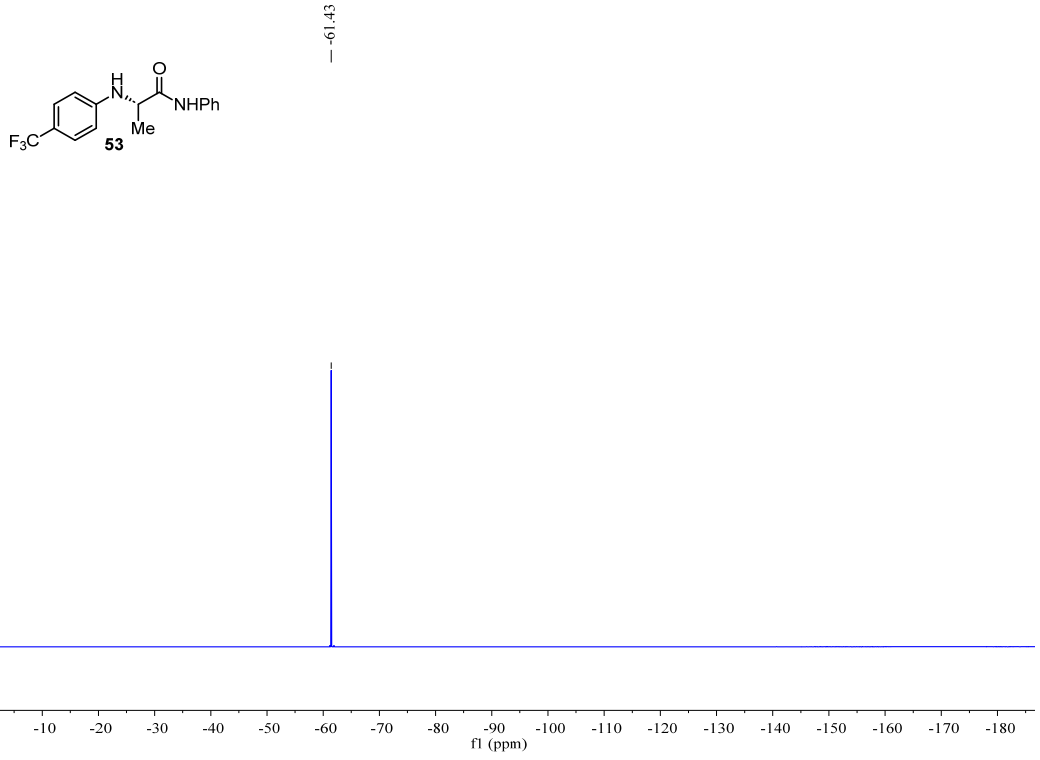
F
H
N
O
NHPh
Me

51


-135.04
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)


8.51
7.50
7.48
7.46
7.32
7.30
7.26
7.12
7.10
7.08
6.46
6.44
4.04
3.85
3.83
3.81
3.80
1.60
1.58
NHPh
Me
52
0.99
3.93
1.99
0.99
1.97
0.97
1.04
3.09
f1 (ppm)
171.81
145.95
138.13
137.20
128.98
124.56
119.84
116.05
81.10
77.32
77.00
76.68
56.08
19.66
NHPh
Me
52
f1 (ppm)


8.41
7.50
7.48
7.46
7.44
7.33
7.31
7.29
7.26
7.13
7.11
7.09
6.71
6.69
4.35
3.96
3.96
3.95
3.94
3.93
3.92
3.91
3.90
1.63
1.62
-0.00
NHPh
Me
F3C
53
0.99
3.97
2.00
1.00
1.97
0.99
0.99
3.02
fl (ppm)
171.53
148.90
137.11
129.02
128.54
126.92
126.88
126.85
126.81
125.85
124.71
123.16
121.94
121.61
121.29
120.96
120.47
119.93
113.27
77.32
77.00
76.68
55.76
19.62
NHPh
Me
F3C
53
fl (ppm)


-61.43
H
N
O
NHPh
Me
F3C
53
0
-10
-20
-30
-40
-50
-60
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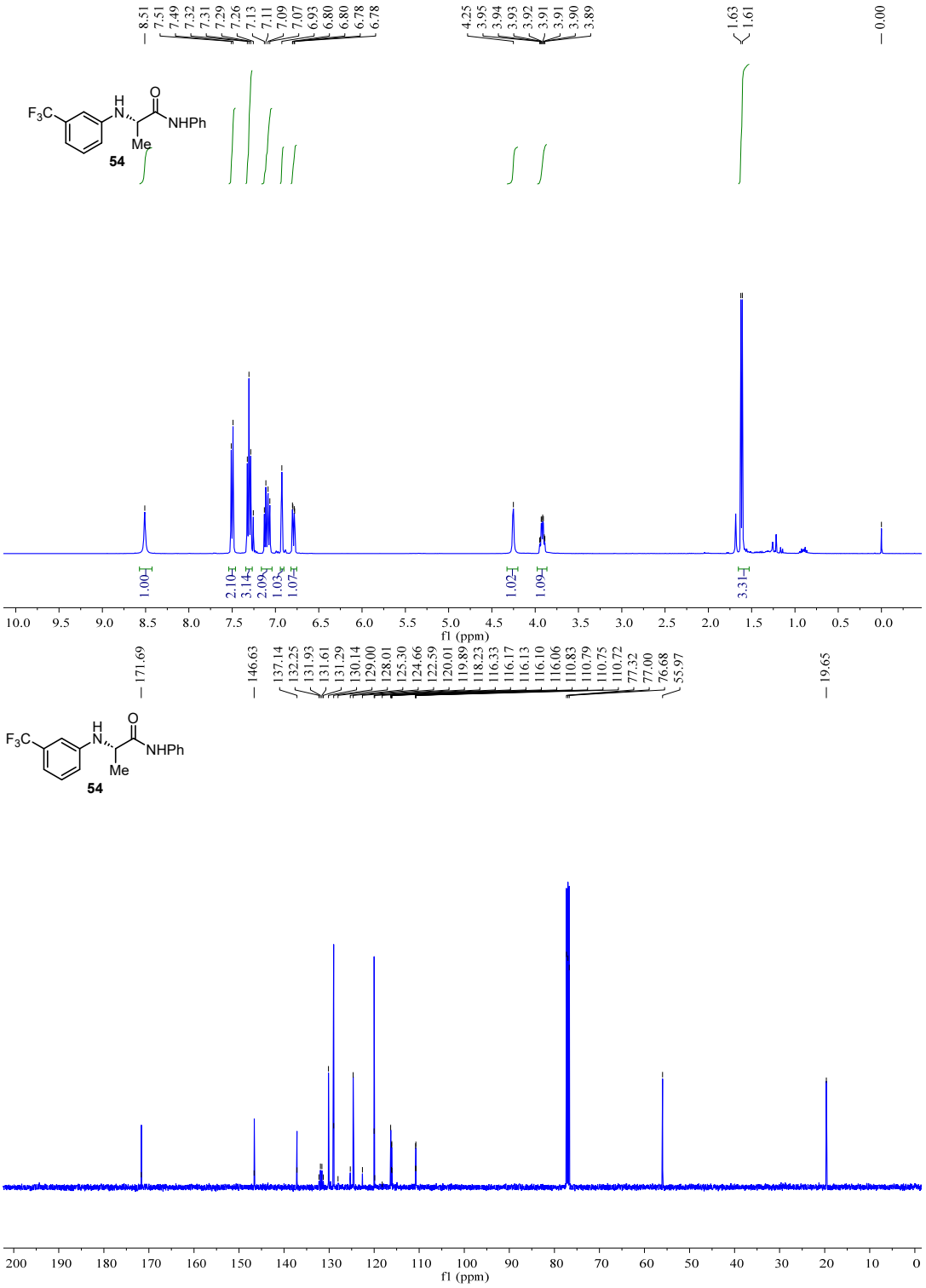
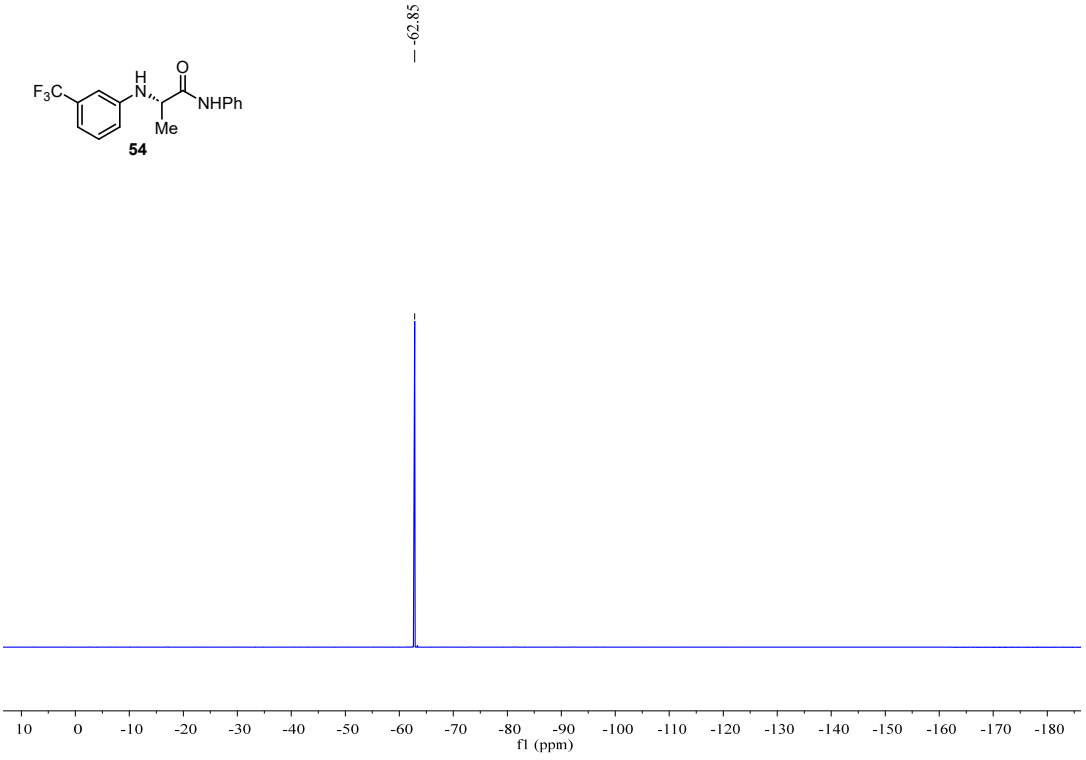
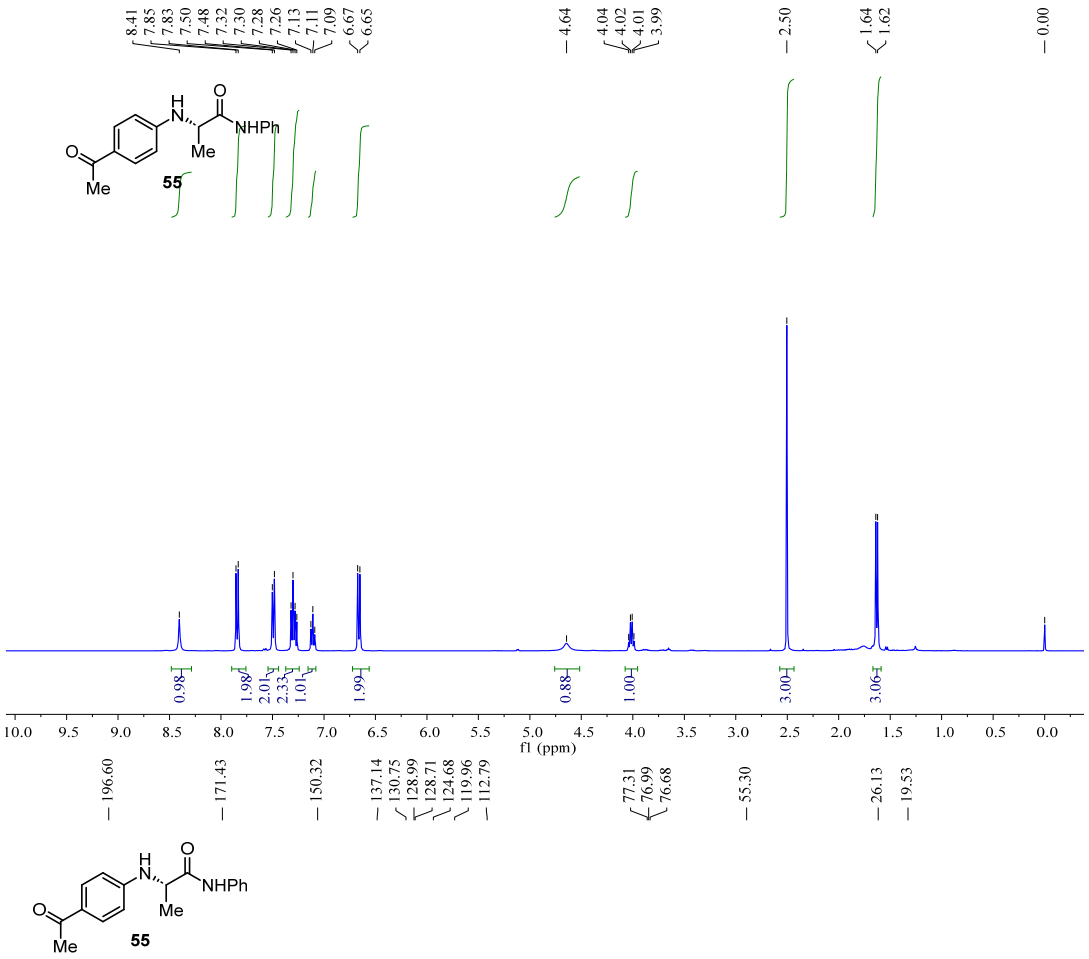
-130
-140
-150
-160
-170
-180
f1 (ppm)

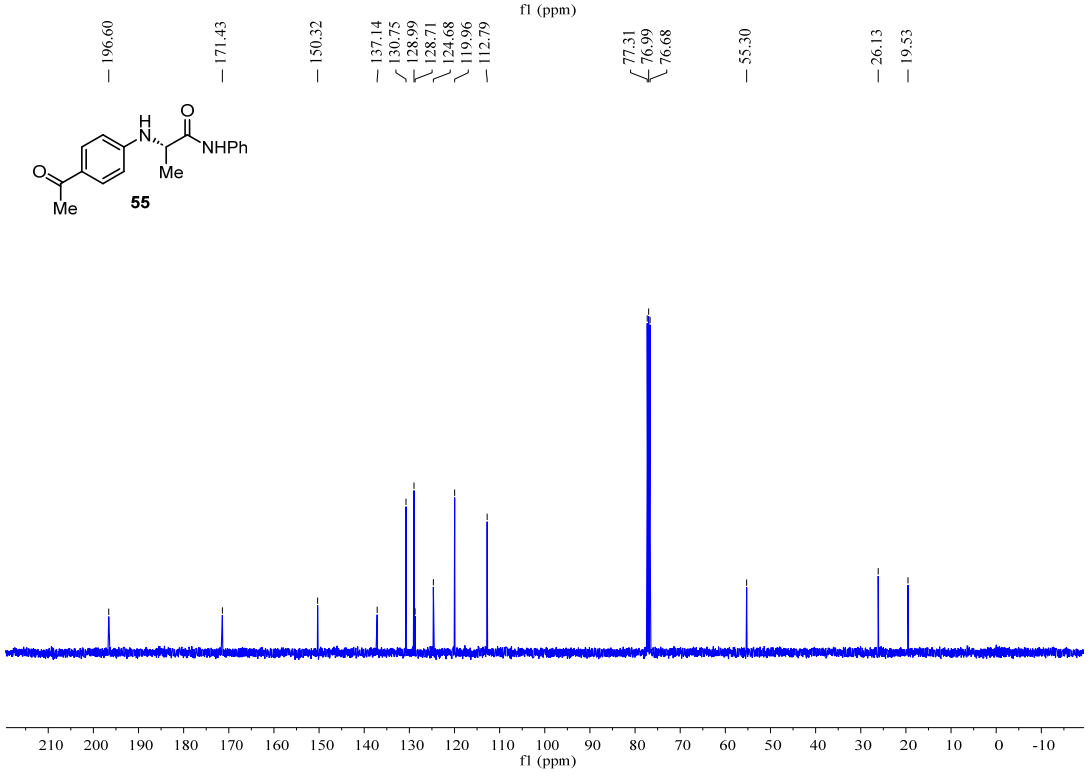

8.51
7.51
7.49
7.32
7.31
7.29
7.26
7.13
7.11
7.09
7.07
6.93
6.80
6.80
6.78
6.78
4.25
3.95
3.94
3.93
3.92
3.91
3.91
3.90
3.89
1.63
1.61
0.00
F3C
H
N
O
NHPh
Me
54
1.00
2.10
3.14
2.09
1.03
1.07
1.02
1.09
3.31
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
171.69
146.63
137.14
132.25
131.93
131.61
131.29
130.14
129.00
128.01
125.30
124.66
122.59
120.01
119.89
118.23
116.33
116.17
116.13
116.10
116.06
110.83
110.79
110.79
110.75
110.72
77.32
77.00
76.68
55.97
19.65
F3C
H
N
O
NHPh
Me
54
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

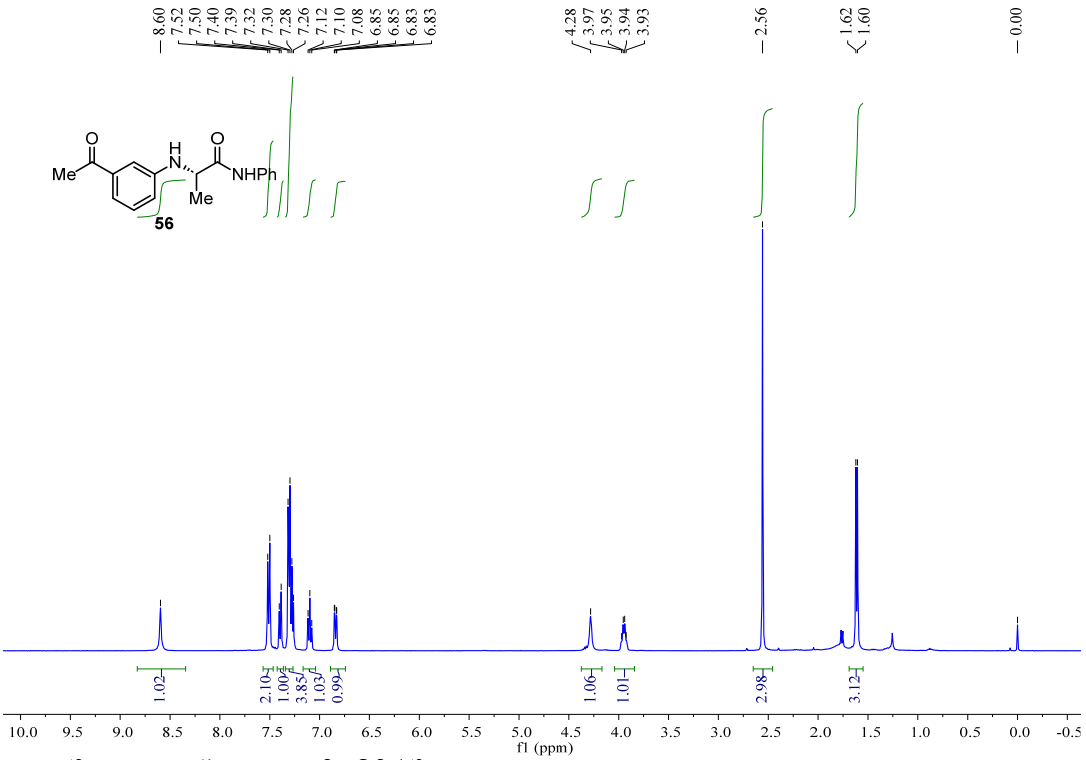
F3C
H
N
O
NHPh
Me

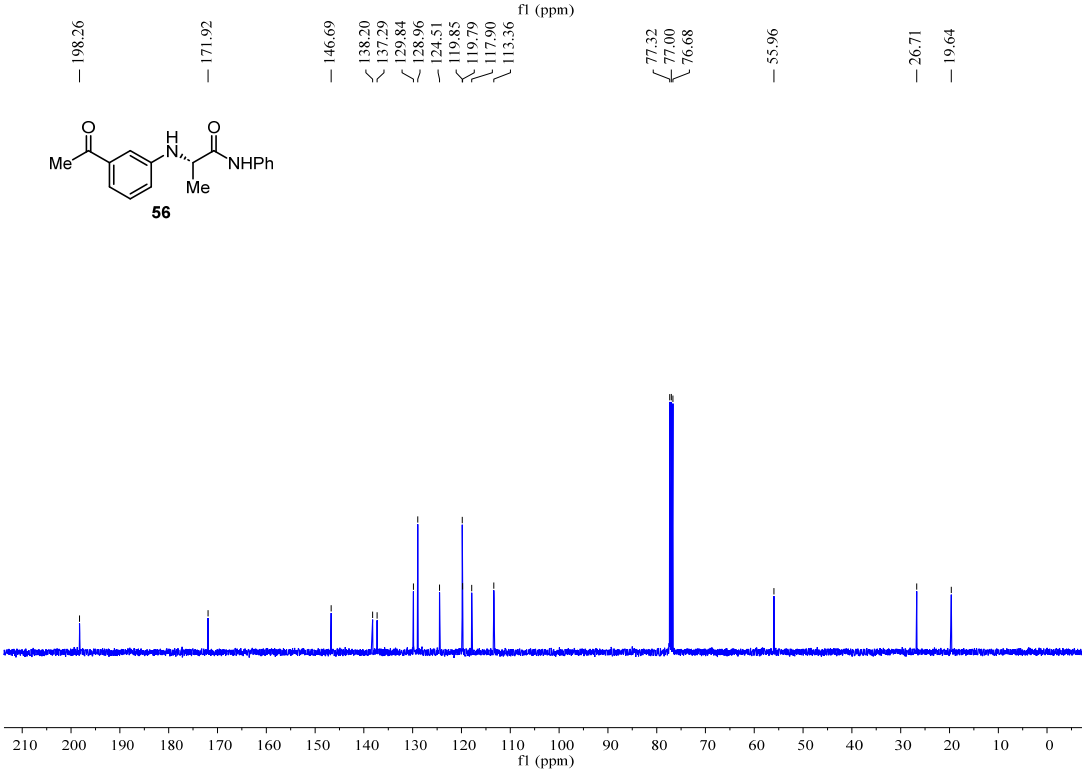
54


-62.85
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
f1 (ppm)


8.41
7.85
7.83
7.50
7.48
7.32
7.30
7.28
7.26
7.13
7.11
7.09
6.67
6.65
4.64
4.04
4.02
4.01
3.99
2.50
1.64
1.62
0.00
NHPh
Me
Me
55
0.98
1.98
2.01
2.33
1.01
1.99
0.88
1.00
3.00
3.06
fl (ppm)


196.60
171.43
150.32
137.14
130.75
128.99
128.71
124.68
119.96
112.79
77.31
76.99
76.68
55.30
26.13
19.53
NHPh
Me
Me
55
fl (ppm)


8.60
7.52
7.50
7.40
7.39
7.32
7.30
7.28
7.26
7.12
7.10
7.08
6.85
6.85
6.83
6.83
4.28
3.97
3.95
3.94
3.93
2.56
1.62
1.60
0.00
Me
O
H
N
O
NHPh
Me
56
1.02
2.10
1.00
3.85
1.03
0.99
1.06
1.01
2.98
3.12
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
-0.5
f1 (ppm)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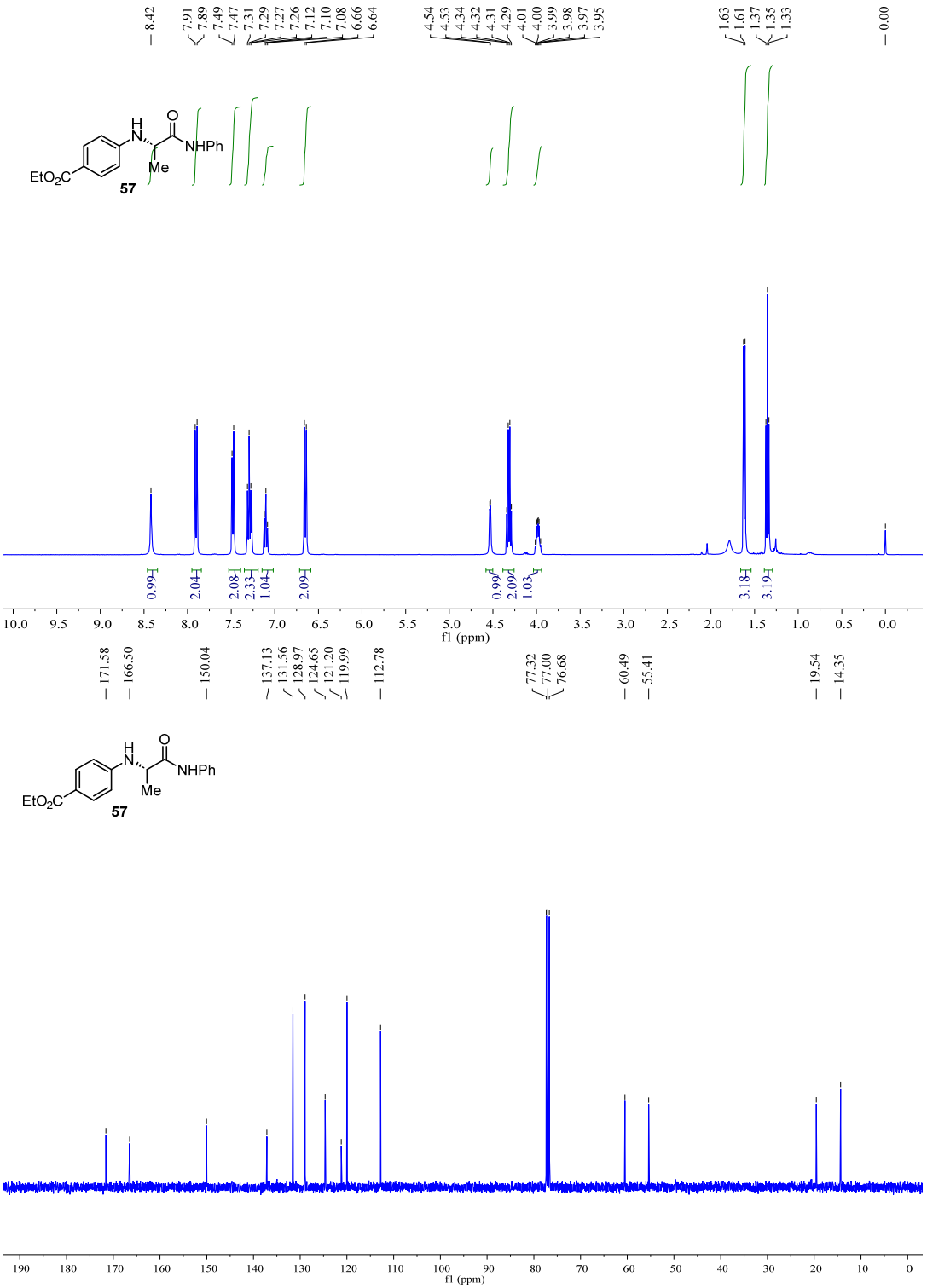
171.92
146.69
138.20
137.29
129.84
128.96
124.51
119.85
119.79
117.90
113.36
77.32
77.00
76.68
55.96
26.71
19.64
Me
O
H
N
O
NHPh
Me
56
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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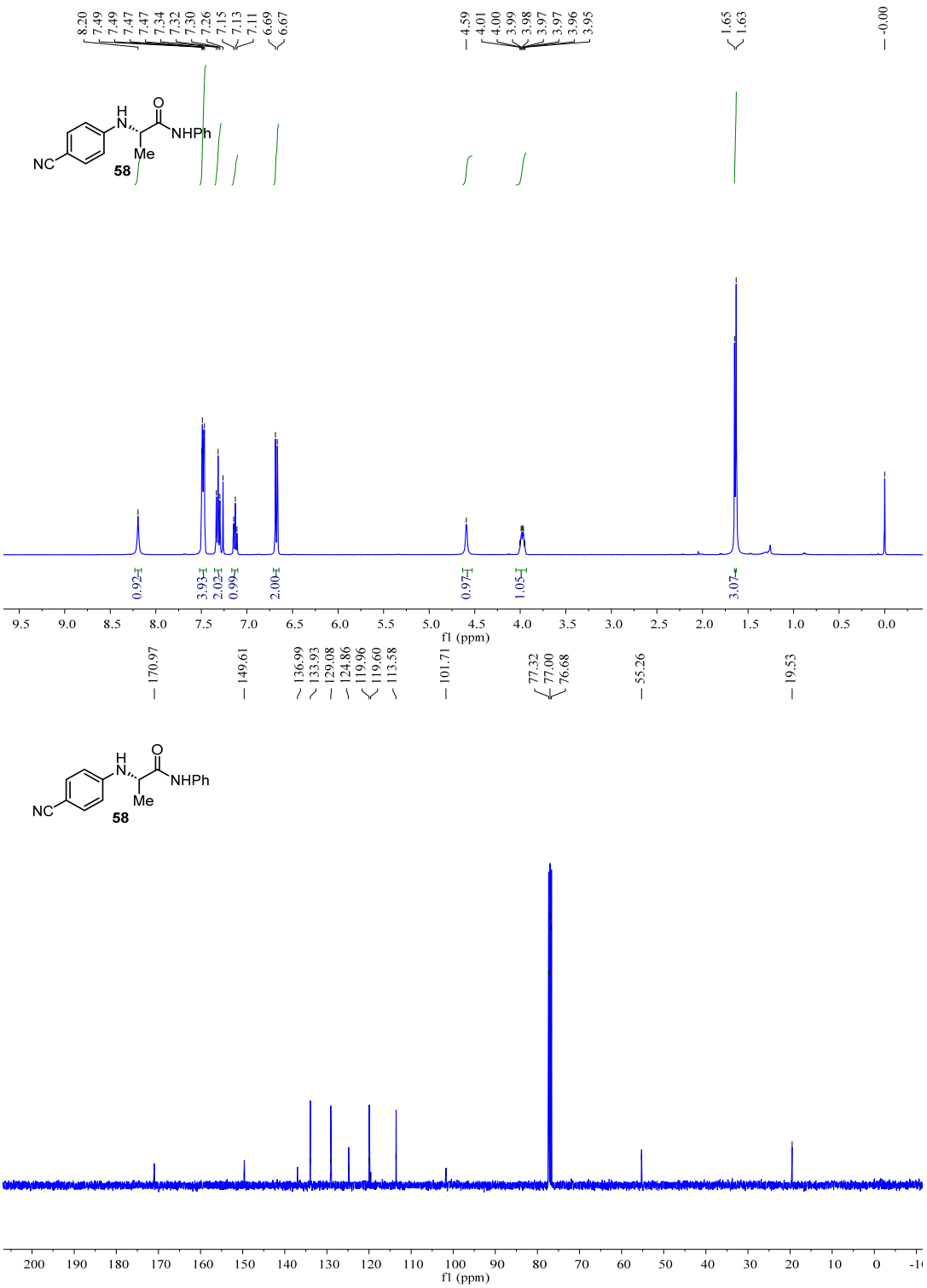
7.91
7.89
7.49
7.47
7.31
7.29
7.27
7.26
7.12
7.10
7.08
6.66
6.64
4.54
4.53
4.34
4.32
4.31
4.29
4.01
4.00
3.99
3.98
3.97
3.95
1.63
1.61
1.37
1.35
1.33
0.00
EtO2C
NHPh
Me
57
0.99
2.04
2.08
2.33
1.04
2.09
0.99
2.09
1.03
3.18
3.19
fl (ppm)
171.58
166.50
150.04
137.13
131.56
128.97
124.65
121.20
119.99
112.78
77.32
77.00
76.68
60.49
55.41
19.54
14.35
fl (ppm)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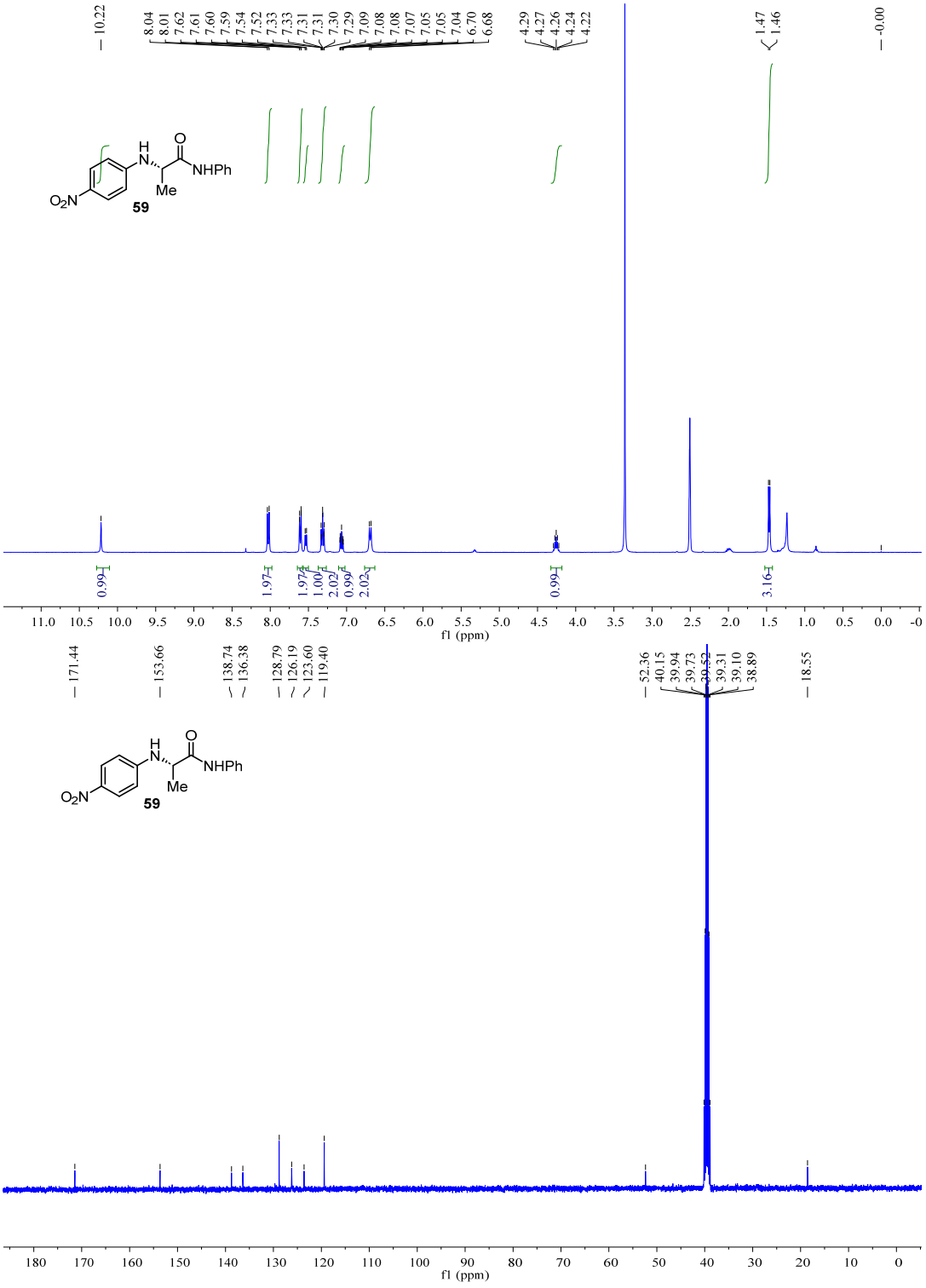
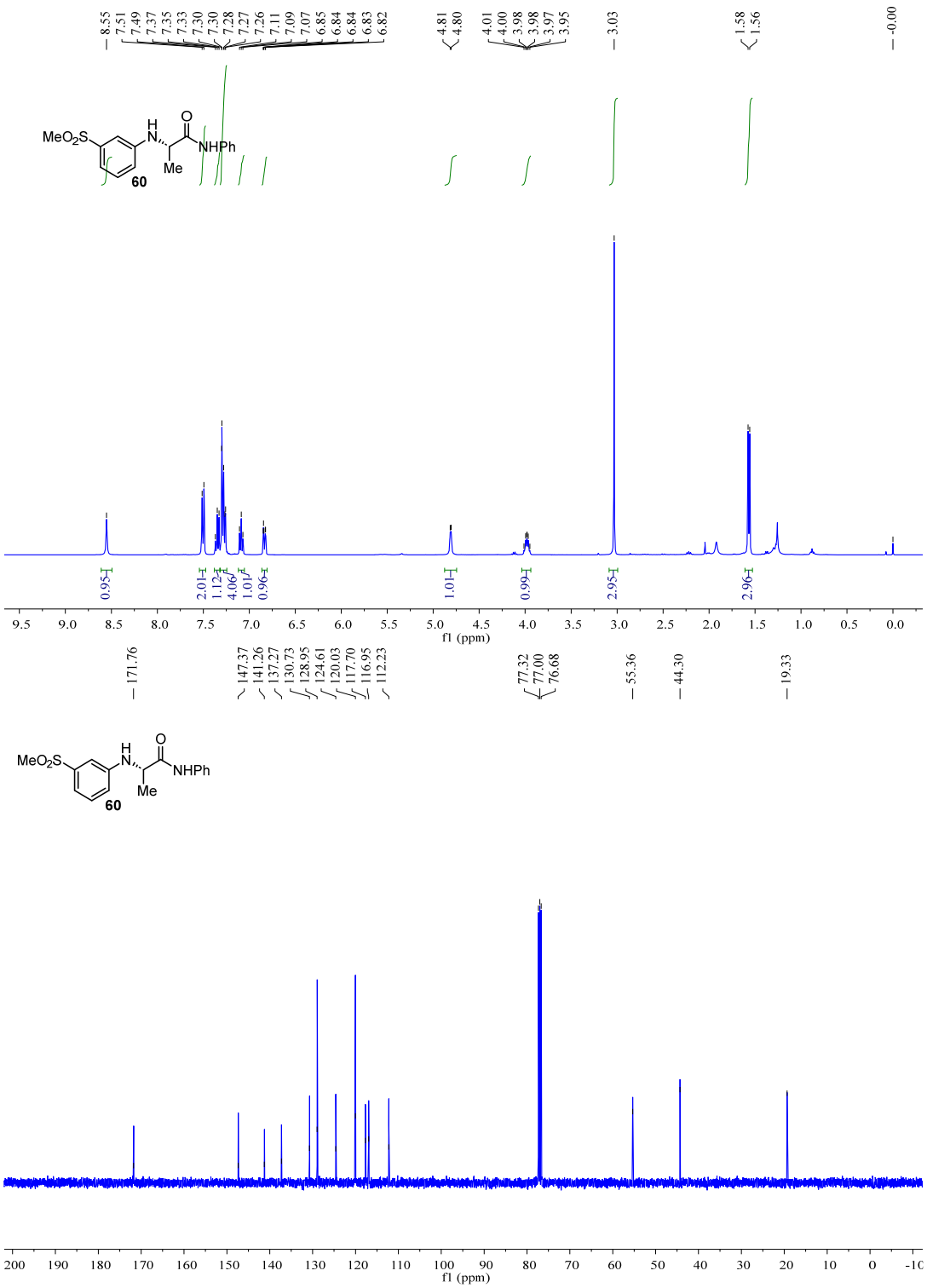
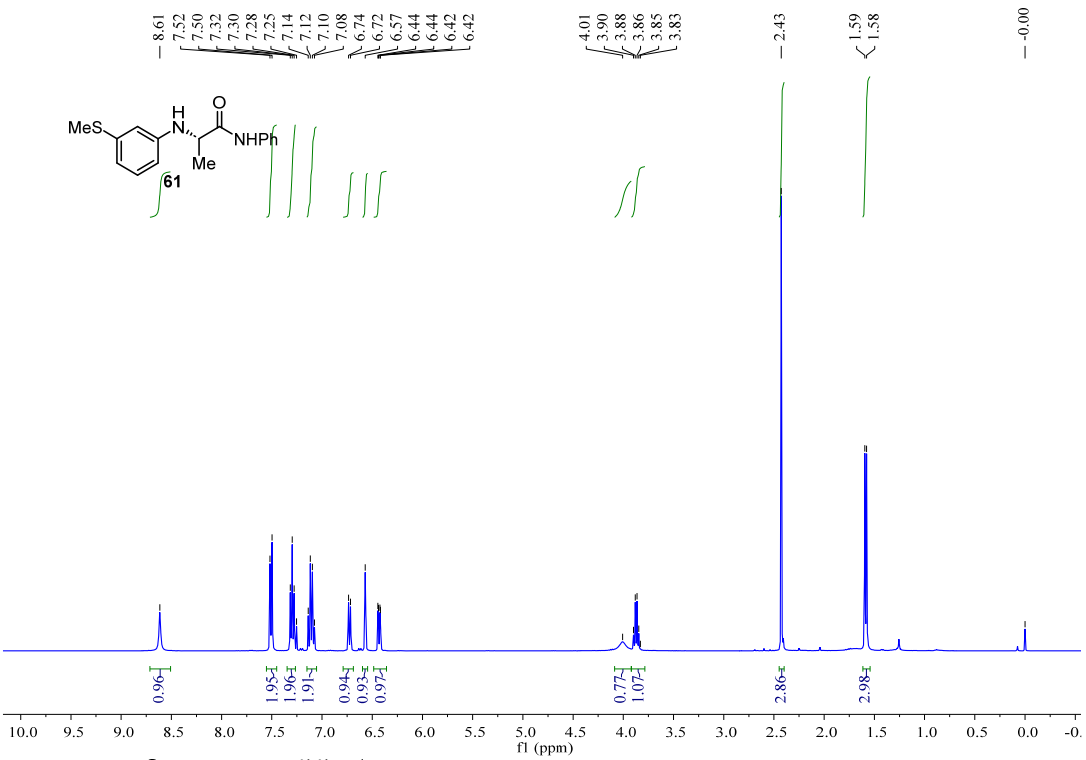
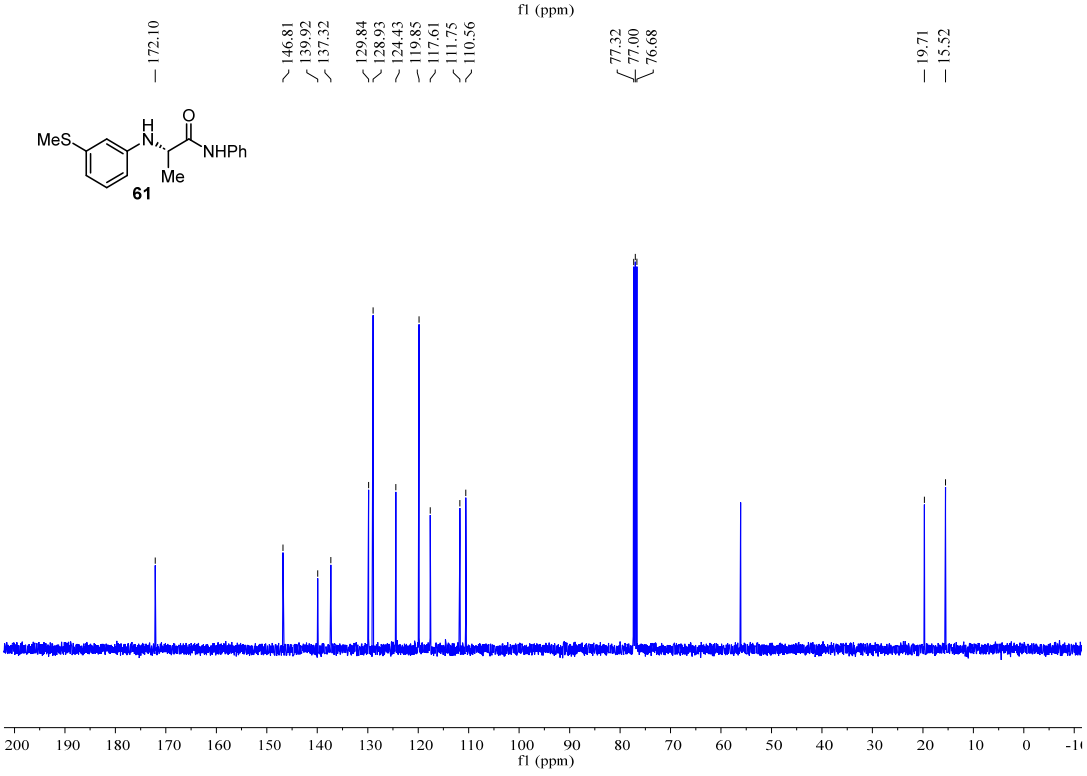
7.49
7.49
7.47
7.47
7.34
7.32
7.30
7.26
7.15
7.13
7.11
6.69
6.67
4.59
4.01
4.00
3.99
3.98
3.97
3.97
3.96
3.95
1.65
1.63
-0.00
NC
NHPh
Me
58
0.92
3.93
2.02
0.99
2.00
0.97
1.05
3.07
f1 (ppm)
170.97
149.61
136.99
133.93
129.08
124.86
119.96
119.60
113.58
101.71
77.32
77.00
76.68
55.26
19.53
NC
NHPh
Me
58
f1 (ppm)


10.22
8.04
8.01
7.62
7.61
7.60
7.59
7.54
7.52
7.33
7.33
7.31
7.31
7.30
7.29
7.09
7.08
7.08
7.07
7.05
7.05
7.04
6.70
6.68
4.29
4.27
4.26
4.24
4.22
1.47
1.46
-0.00
NHPh
Me
O2N
59
0.99
1.97
1.97
1.00
2.02
0.99
2.02
0.99
3.16
f1 (ppm)
171.44
153.66
138.74
136.38
128.79
126.19
123.60
119.40
52.36
40.15
39.94
39.73
39.52
39.31
39.10
38.89
18.55
NHPh
Me
O2N
59
f1 (ppm)


8.55
7.51
7.49
7.37
7.35
7.33
7.30
7.30
7.28
7.27
7.26
7.11
7.09
7.07
6.85
6.84
6.84
6.83
6.82
4.81
4.80
4.01
4.00
3.98
3.98
3.97
3.95
3.03
1.58
1.56
-0.00
MeO2S
H
N
O
NHPh
Me
60
0.95
2.01
1.12
4.06
1.01
0.96
1.01
0.99
2.95
2.96
f1 (ppm)
171.76
147.37
141.26
137.27
130.73
128.95
124.61
120.03
117.70
116.95
112.23
77.32
77.00
76.68
55.36
44.30
19.33
MeO2S
H
N
O
NHPh
Me
60
f1 (ppm)


8.61
7.52
7.50
7.32
7.30
7.28
7.25
7.14
7.12
7.10
7.08
6.74
6.72
6.57
6.44
6.44
6.42
6.42
4.01
3.90
3.88
3.86
3.85
3.83
2.43
1.59
1.58
-0.00
MeS
H
N
O
NHPh
Me
61
0.96
1.95
1.96
1.91
0.94
0.93
0.97
0.77
1.07
2.86
2.98
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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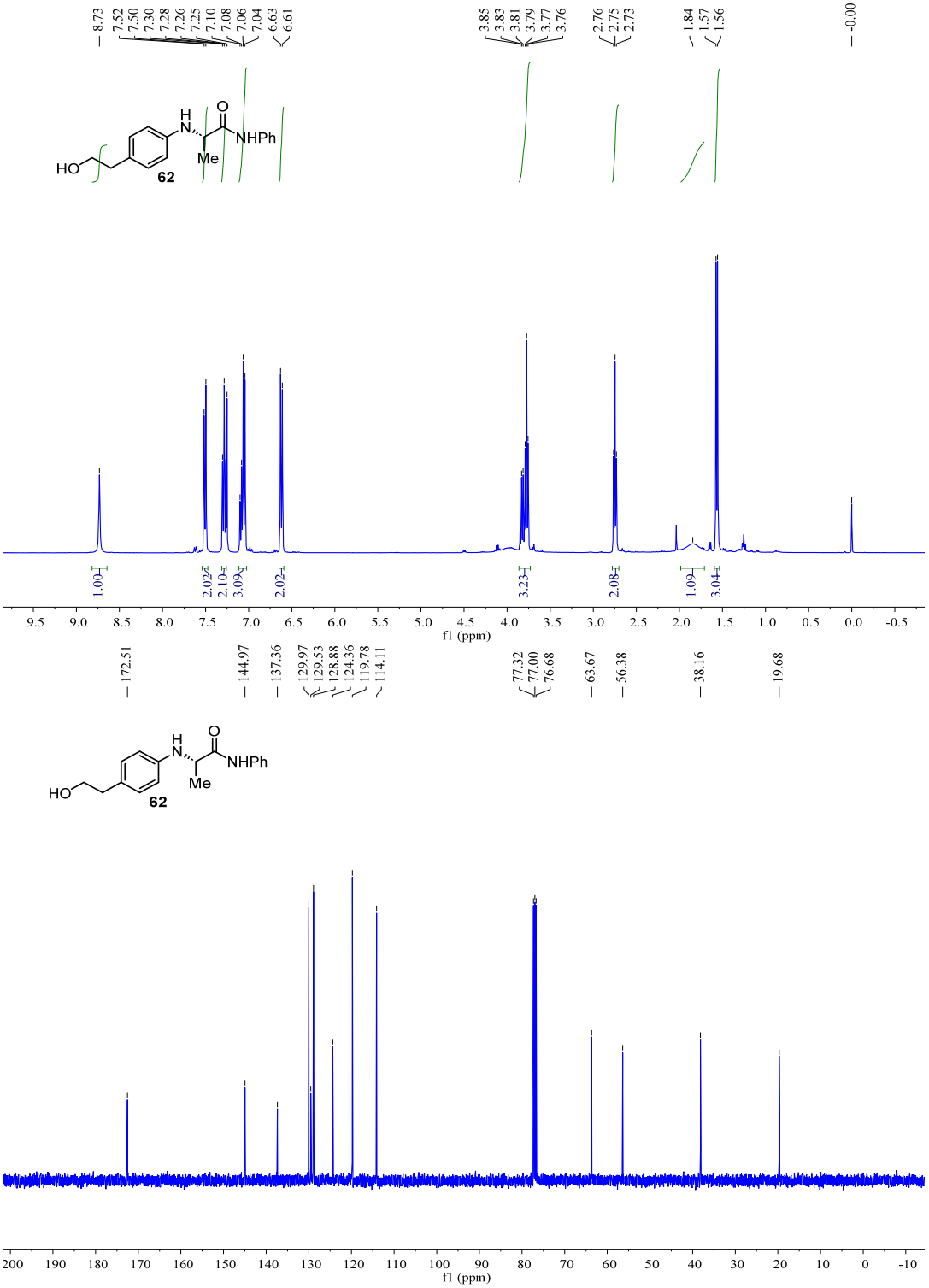
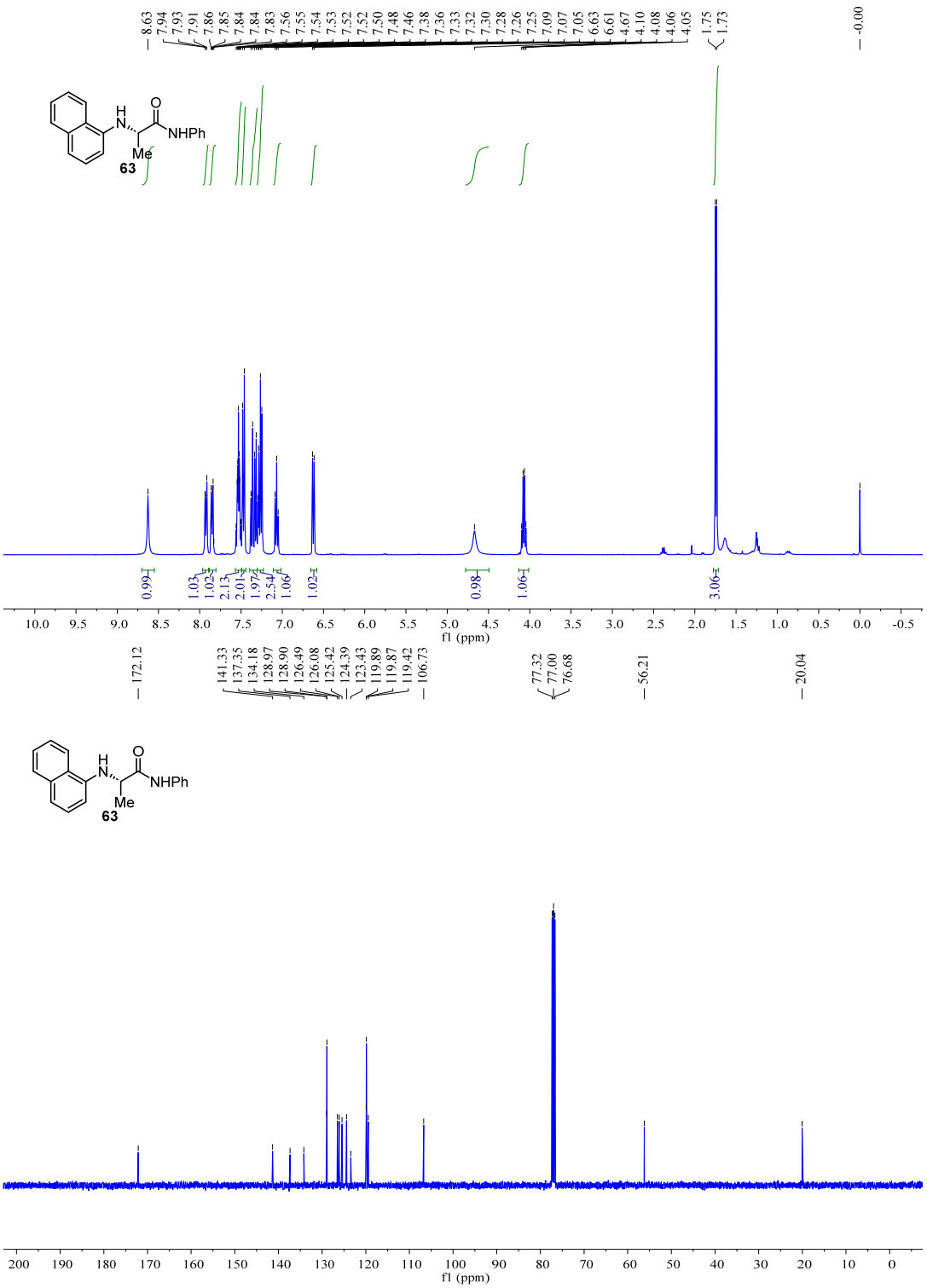
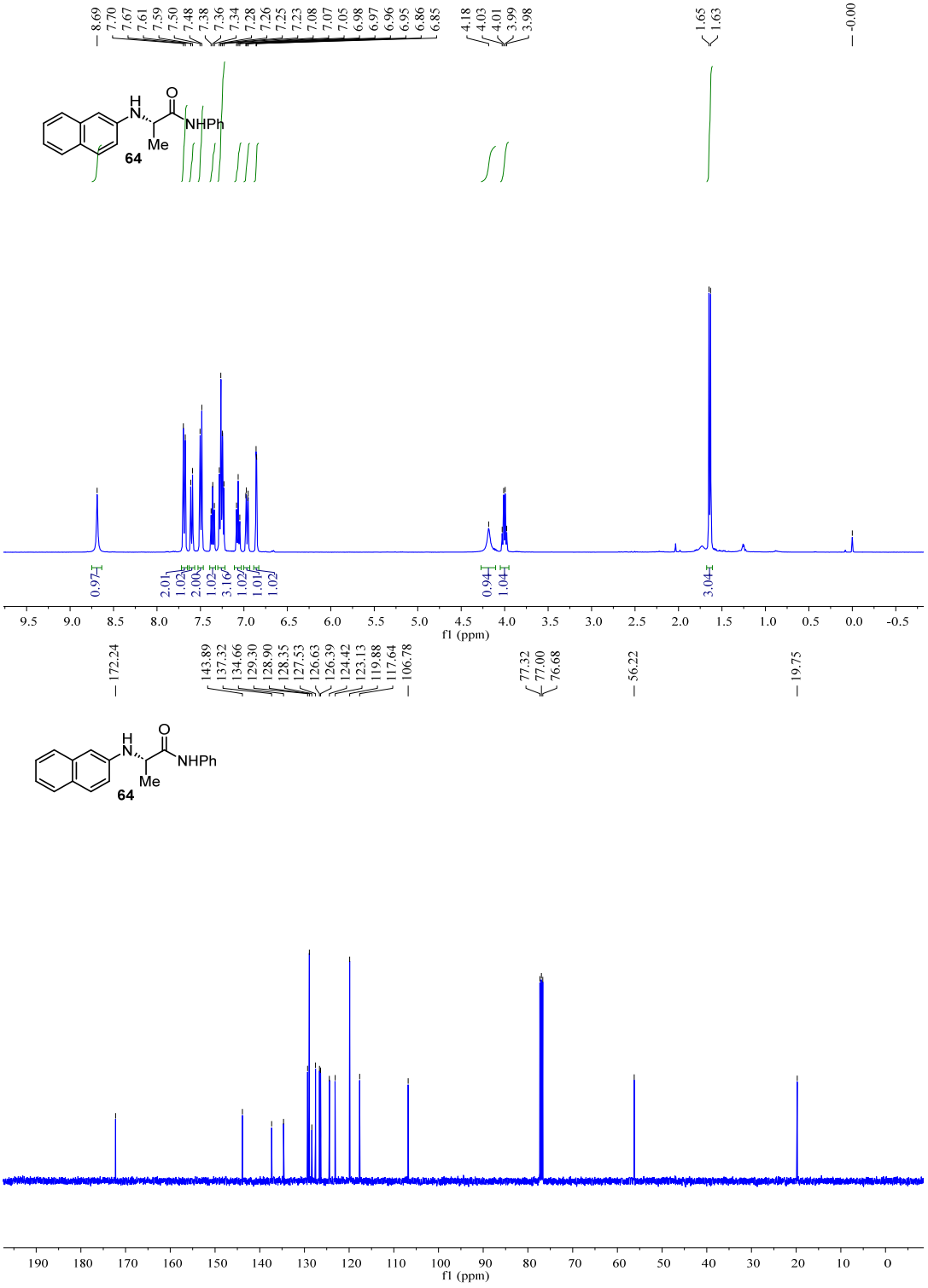
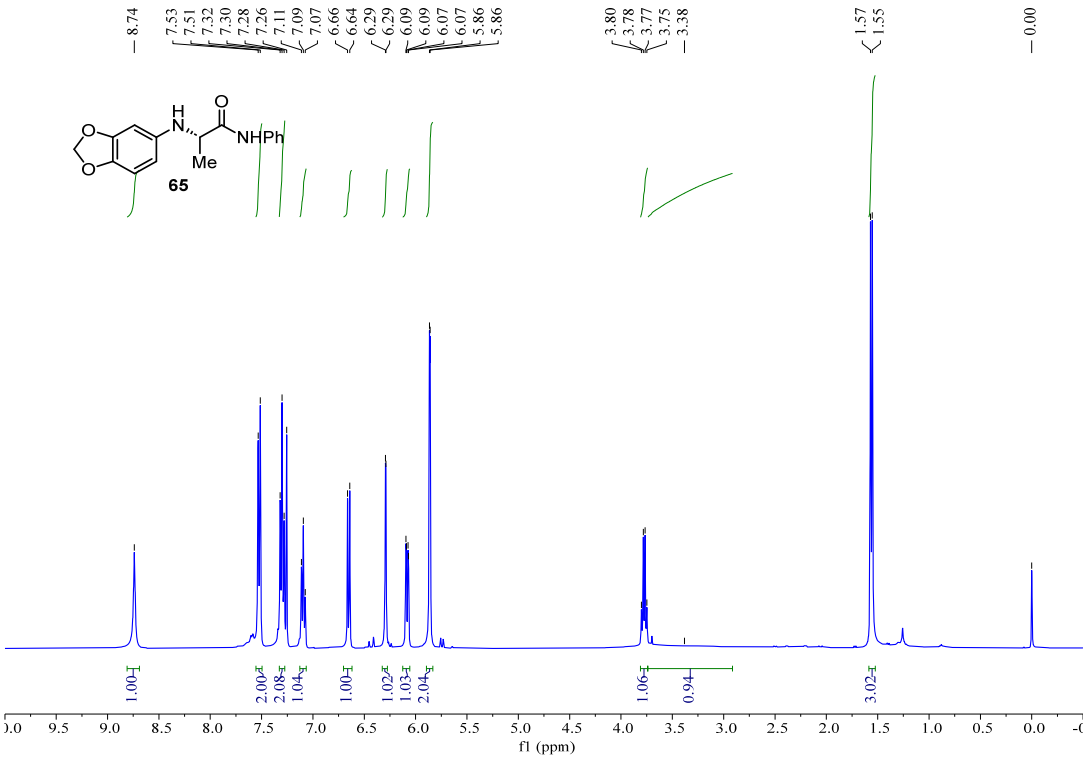
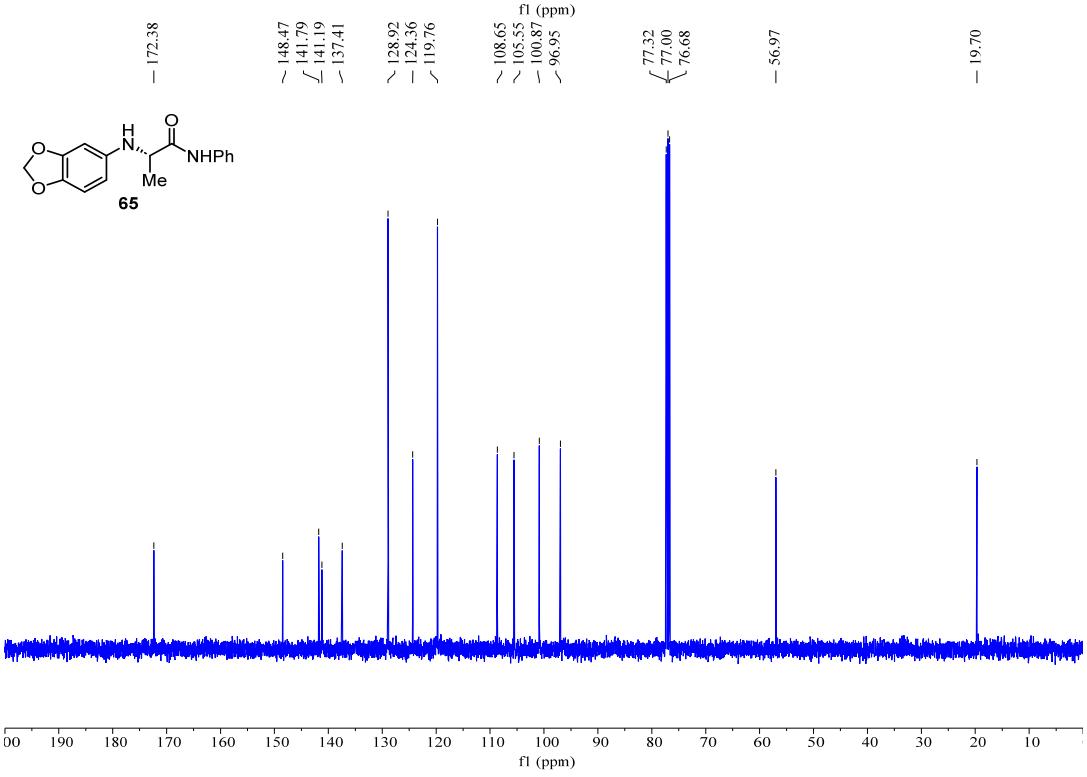
146.81
139.92
137.32
129.84
128.93
124.43
119.85
117.61
111.75
110.56
77.32
77.00
76.68
19.71
15.52
MeS
H
N
O
NHPh
Me
61
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


8.73
7.52
7.50
7.30
7.28
7.26
7.25
7.10
7.08
7.06
7.04
6.63
6.61
3.85
3.83
3.81
3.79
3.77
3.76
2.76
2.75
2.73
1.84
1.57
1.56
-0.00
HO
H
N
O
NHPh
Me
62
1.00
2.02
2.10
3.09
2.02
3.23
2.08
1.09
3.04
f1 (ppm)
172.51
144.97
137.36
129.97
129.53
128.88
124.36
119.78
114.11
77.32
77.00
76.68
63.67
56.38
38.16
19.68
f1 (ppm)


8.63
7.94
7.93
7.91
7.86
7.85
7.84
7.84
7.83
7.56
7.55
7.54
7.53
7.52
7.52
7.50
7.48
7.46
7.38
7.36
7.33
7.32
7.30
7.28
7.26
7.25
7.09
7.07
7.05
6.63
6.61
4.67
4.10
4.08
4.06
4.05
1.75
1.73
-0.00
NHPh
Me
63
0.99
1.03
1.02
2.13
2.01
1.97
2.54
1.06
1.02
0.98
1.06
3.06
f1 (ppm)
172.12
141.33
137.35
134.18
128.97
128.90
126.49
126.08
125.42
124.39
123.43
119.89
119.87
119.42
106.73
77.32
77.00
76.68
56.21
20.04
NHPh
Me
63
f1 (ppm)


8.69
7.70
7.67
7.61
7.59
7.50
7.48
7.38
7.36
7.34
7.28
7.26
7.25
7.23
7.08
7.07
7.05
6.98
6.97
6.96
6.95
6.86
6.85
4.18
4.03
4.01
3.99
3.98
1.65
1.63
-0.00
NHPh
Me
64
0.97
2.01
1.02
2.00
1.02
3.16
1.02
1.01
1.02
0.94
1.04
3.04
fl (ppm)
172.24
143.89
137.32
134.66
129.30
128.90
128.35
127.53
126.63
126.39
124.42
123.13
119.88
117.64
106.78
77.32
77.00
76.68
56.22
19.75
NHPh
Me
64
fl (ppm)


8.74
7.53
7.51
7.32
7.30
7.28
7.26
7.11
7.09
7.07
6.66
6.64
6.29
6.29
6.09
6.09
6.07
6.07
5.86
5.86
3.80
3.78
3.77
3.75
3.38
1.57
1.55
0.00
NHPh
Me
65
1.00
2.00
2.08
1.04
1.00
1.02
1.03
2.04
1.06
0.94
3.02
f1 (ppm)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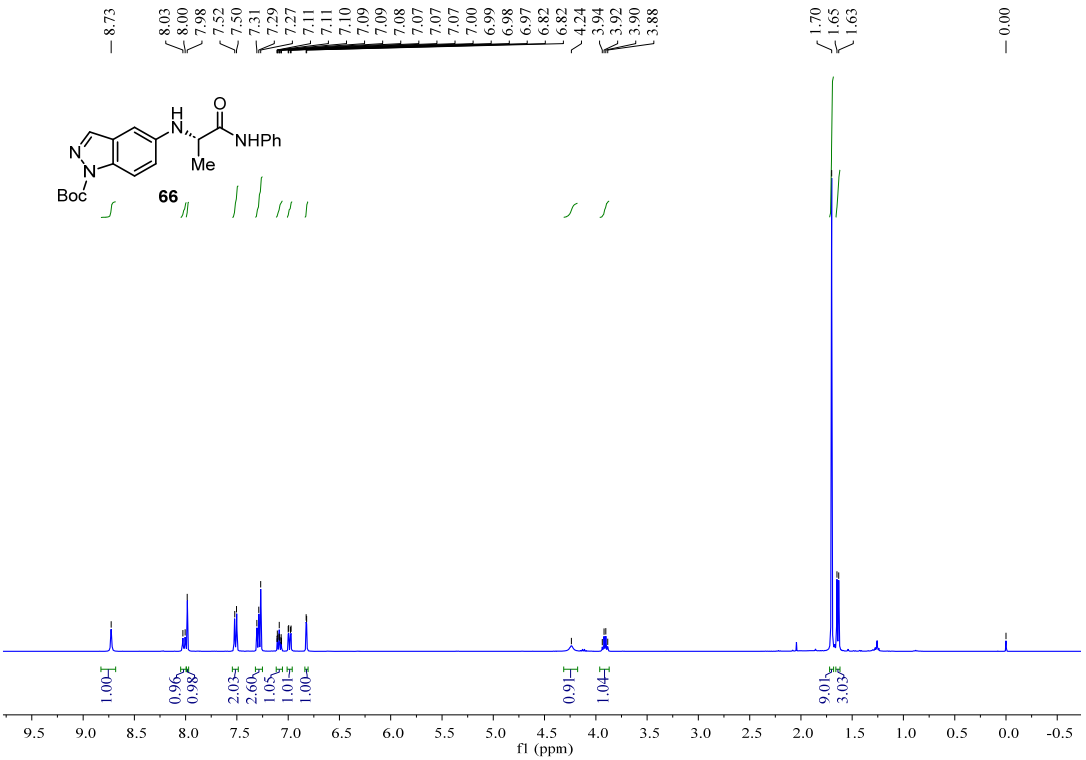
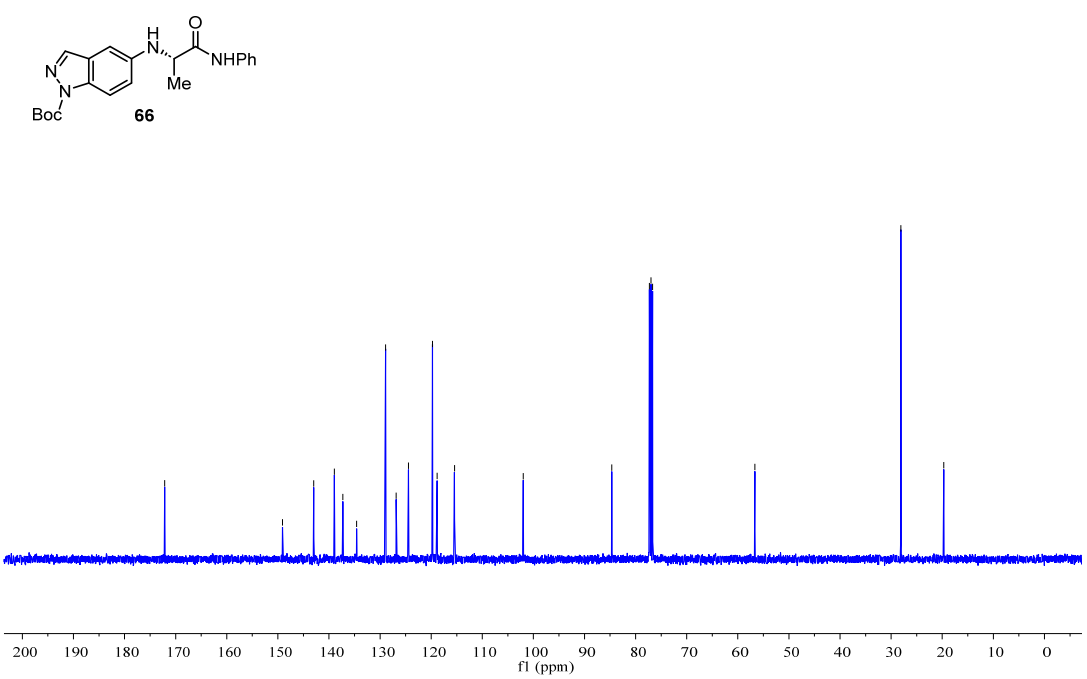
148.47
141.79
141.19
137.41
128.92
124.36
119.76
108.65
105.55
100.87
96.95
77.32
77.00
76.68
56.97
19.70
NHPh
Me
65
f1 (ppm)


8.73
8.03
8.00
7.98
7.52
7.50
7.31
7.29
7.27
7.11
7.10
7.09
7.09
7.08
7.07
7.07
7.07
7.00
6.99
6.98
6.97
6.82
6.82
4.24
3.94
3.92
3.90
3.88
1.70
1.65
1.63
0.00
NHPh
Me
Boc
66
1.00
0.96
0.98
2.03
2.60
1.05
1.01
1.00
0.91
1.04
9.01
3.03
f1 (ppm)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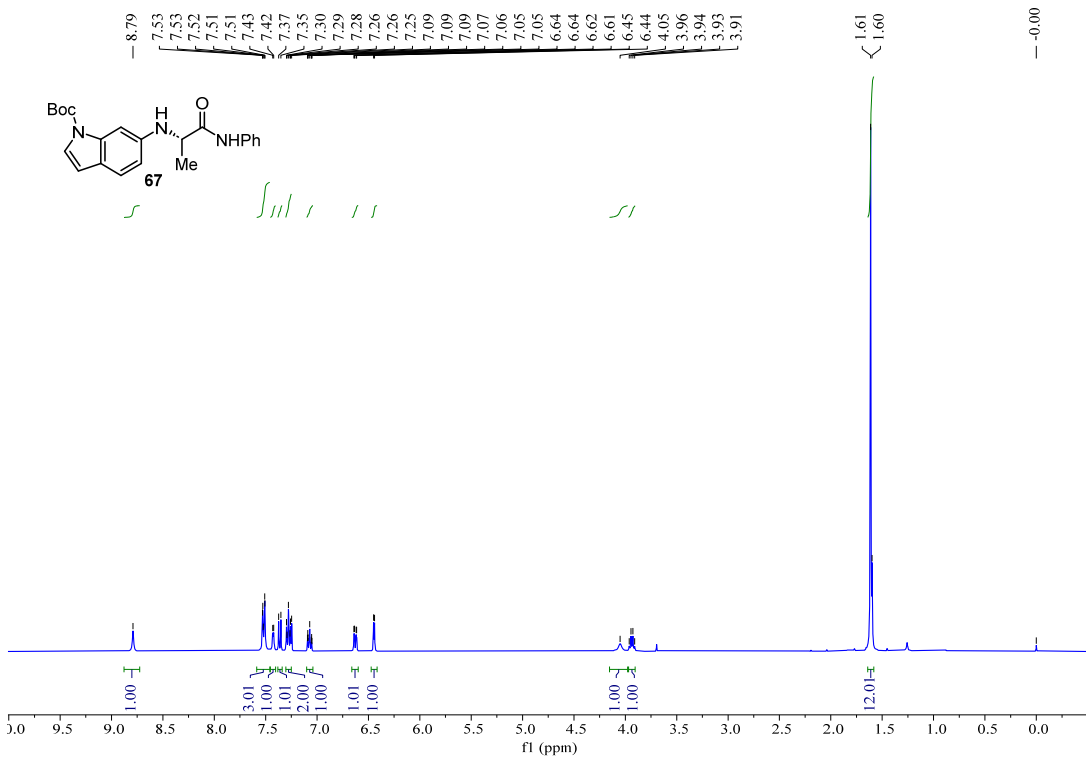
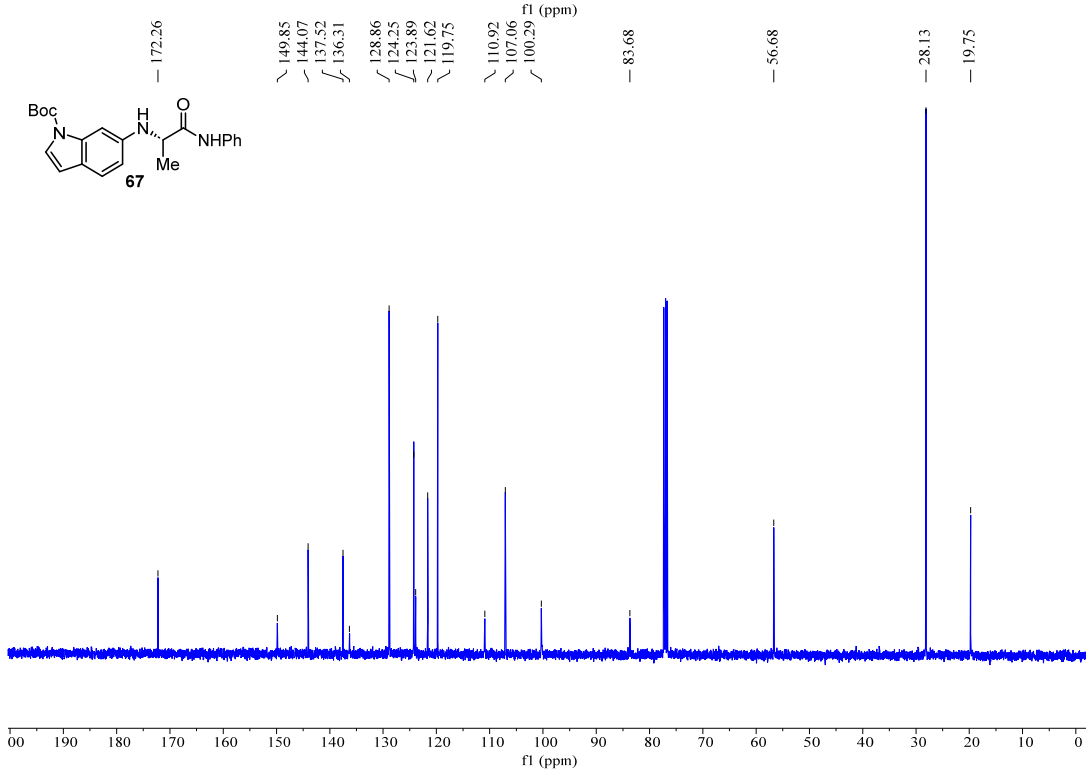
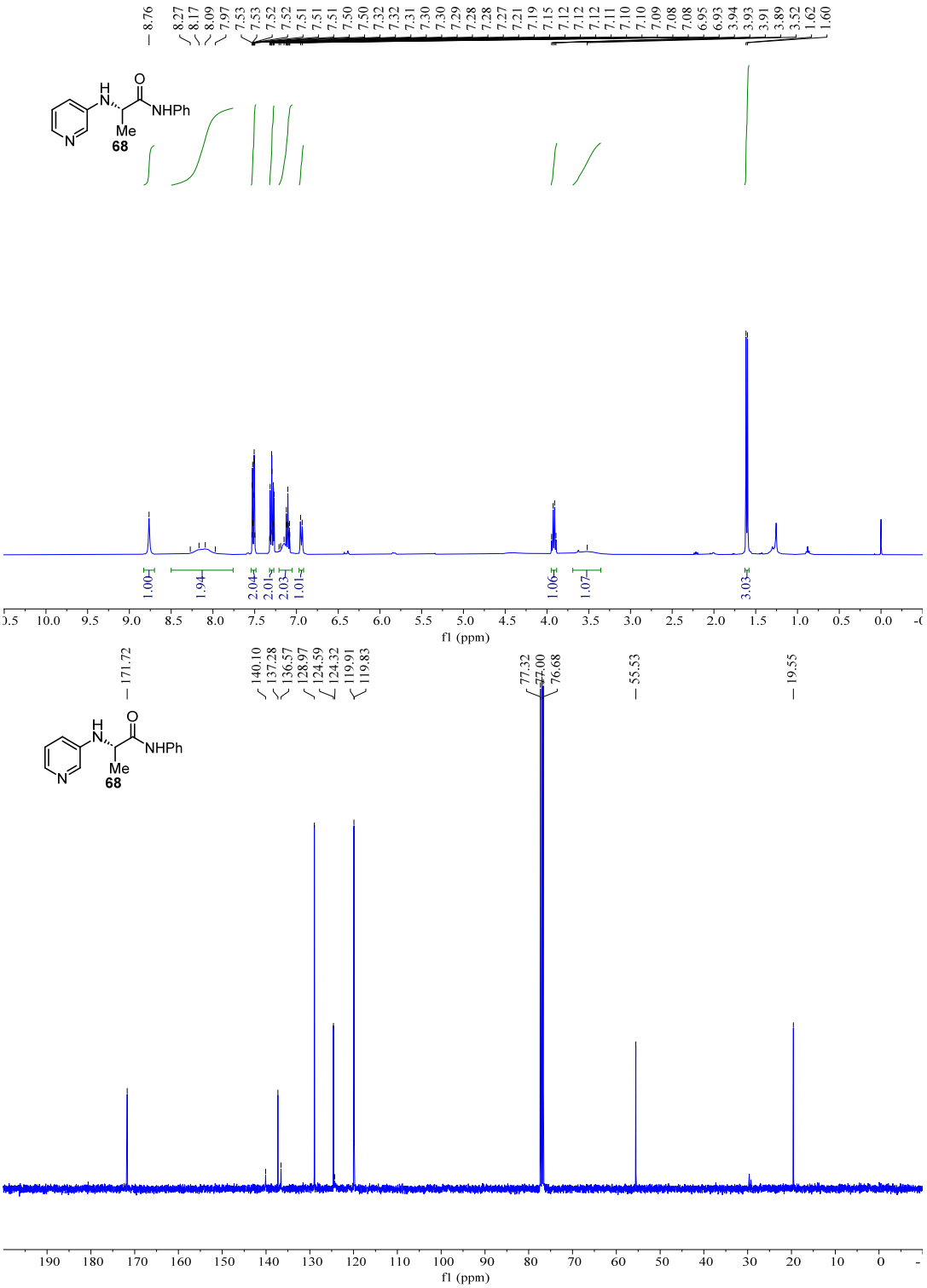
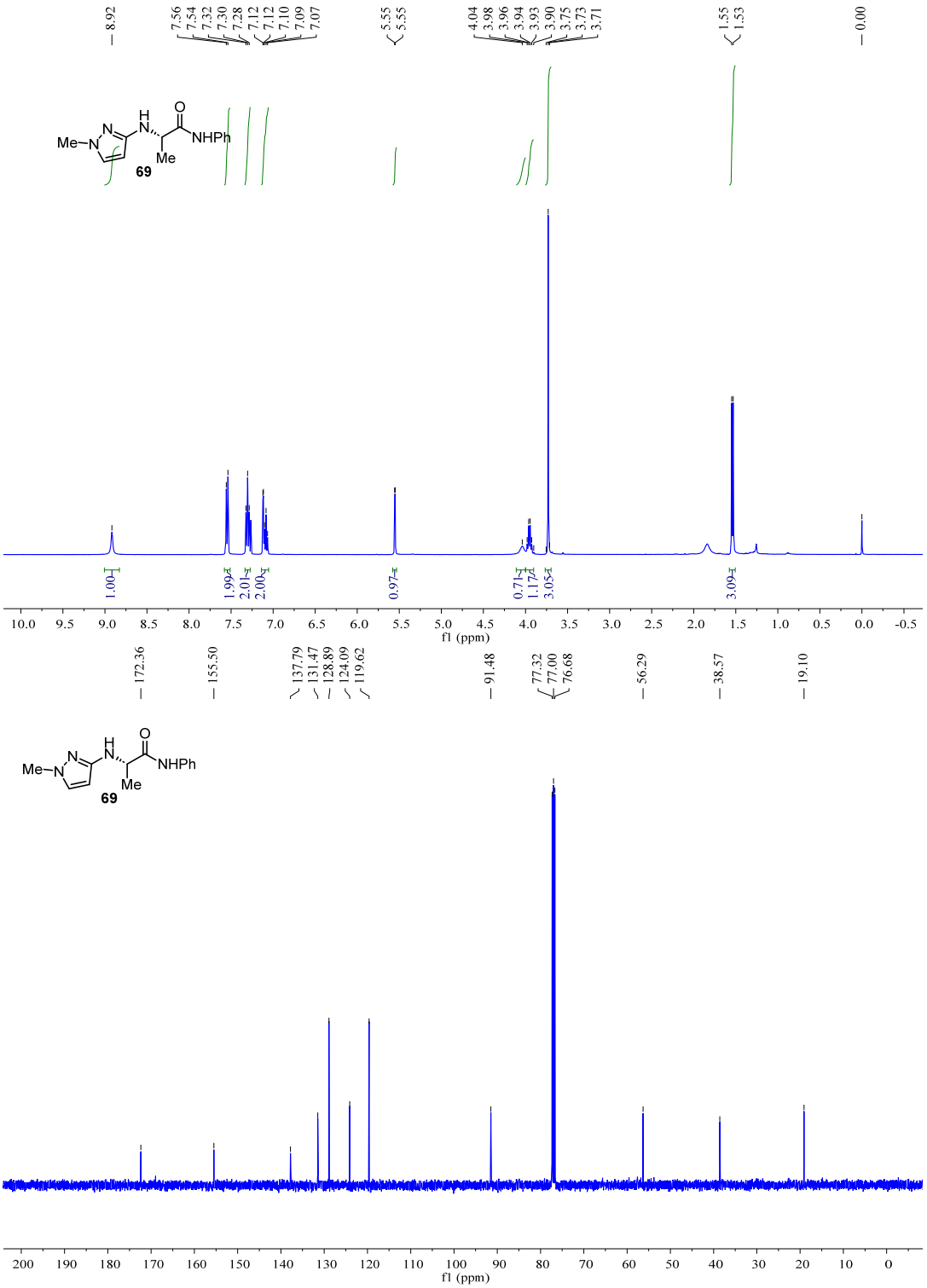
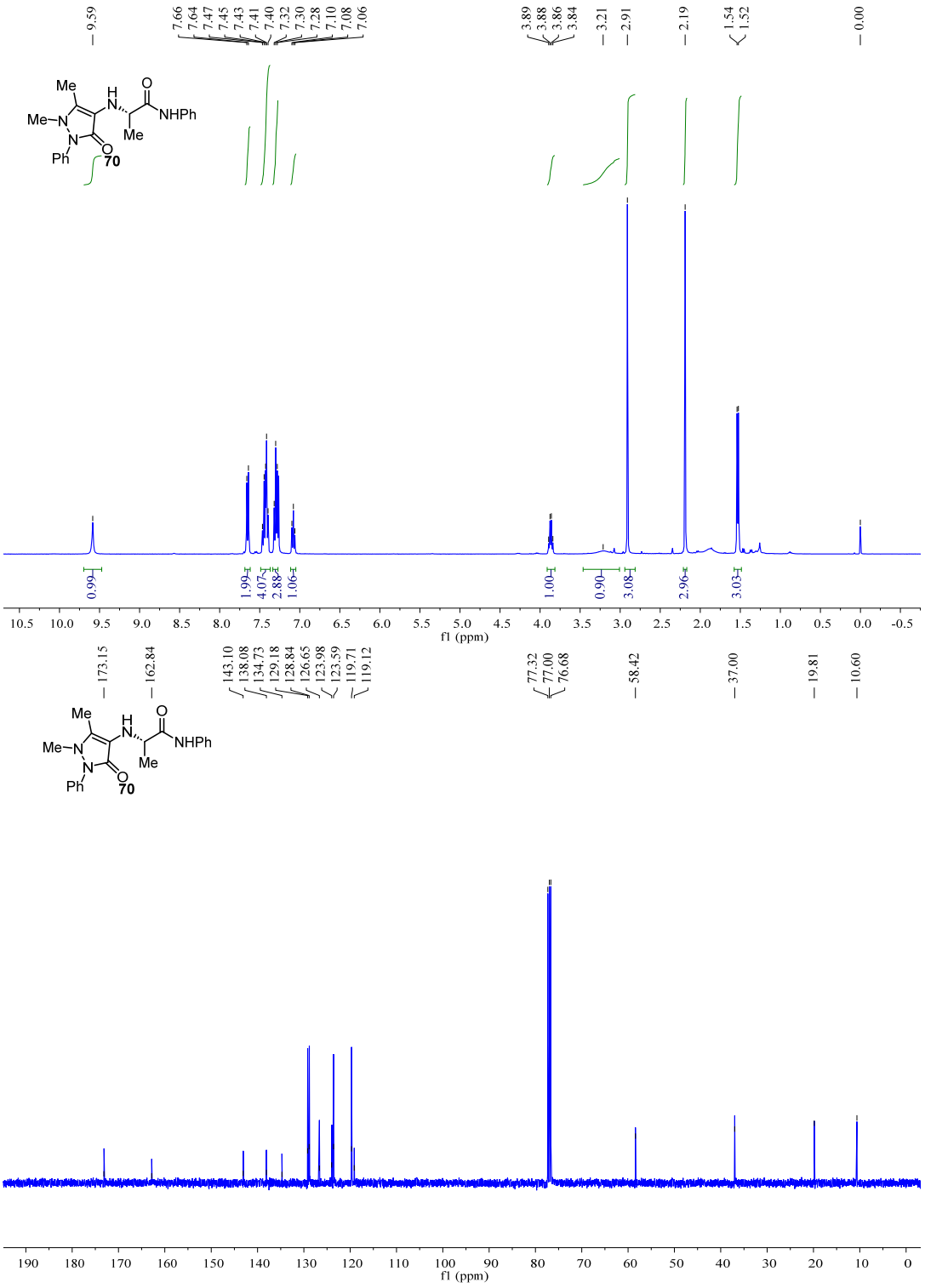
149.10
142.98
138.96
137.30
134.58
128.92
126.85
124.44
119.74
118.84
115.44
101.98
84.65
77.32
77.00
76.68
56.67
28.11
19.71
NHPh
Me
Boc
66
f1 (ppm)

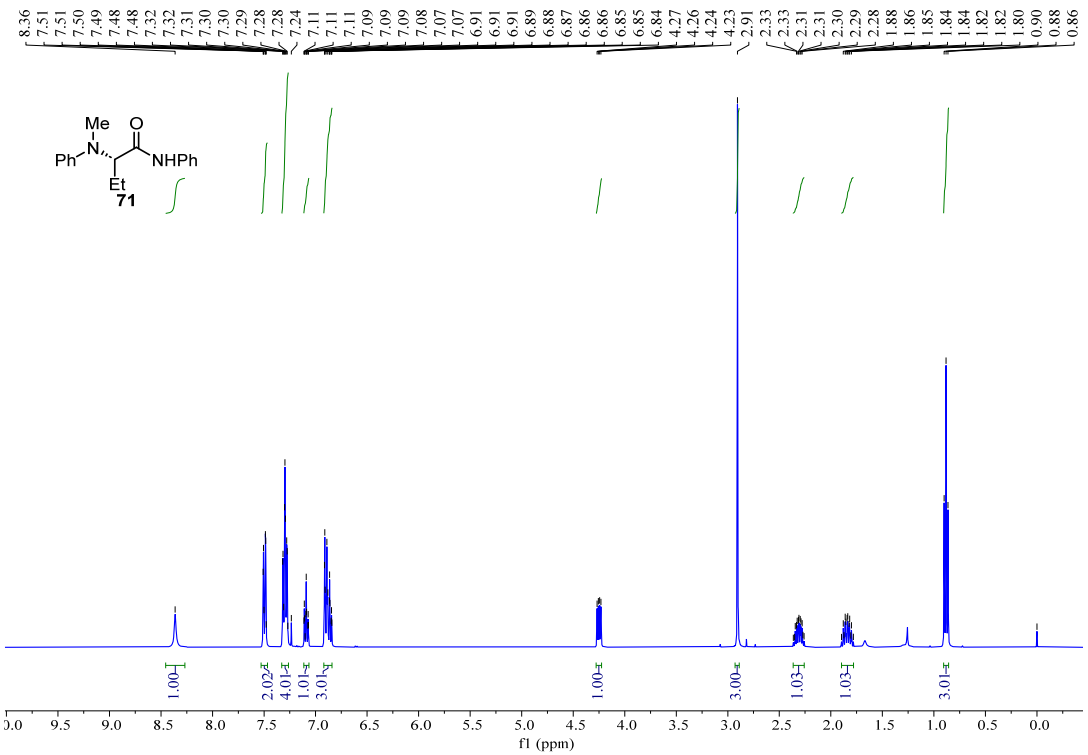

8.79
7.53
7.53
7.52
7.51
7.51
7.43
7.42
7.37
7.35
7.30
7.29
7.28
7.26
7.26
7.25
7.09
7.09
7.09
7.07
7.06
7.05
7.05
6.64
6.64
6.62
6.61
6.45
6.44
4.05
3.96
3.94
3.93
3.91
1.61
1.60
-0.00
Boc
N
H
N
O
NHPh
Me
67
1.00
3.01
1.00
1.01
2.00
1.00
1.01
1.00
1.00
1.00
12.01
f1 (ppm)

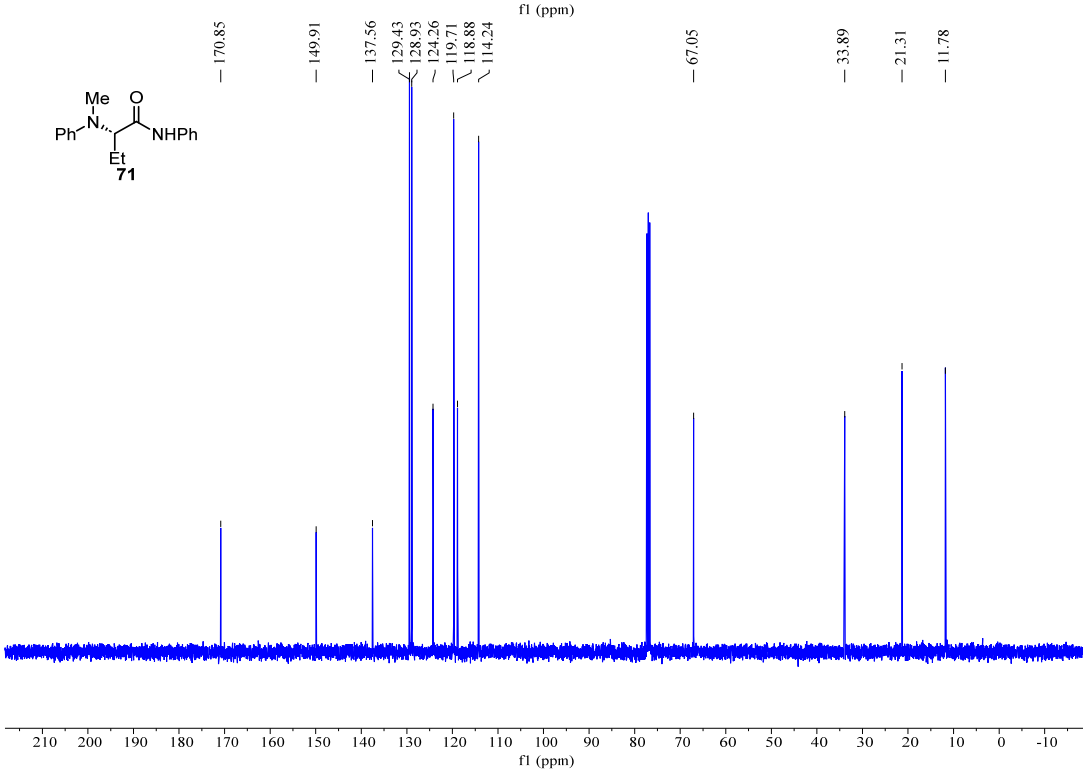

172.26
149.85
144.07
137.52
136.31
128.86
124.25
123.89
121.62
119.75
110.92
107.06
100.29
83.68
56.68
28.13
19.75
Boc
N
H
N
O
NHPh
Me
67
f1 (ppm)

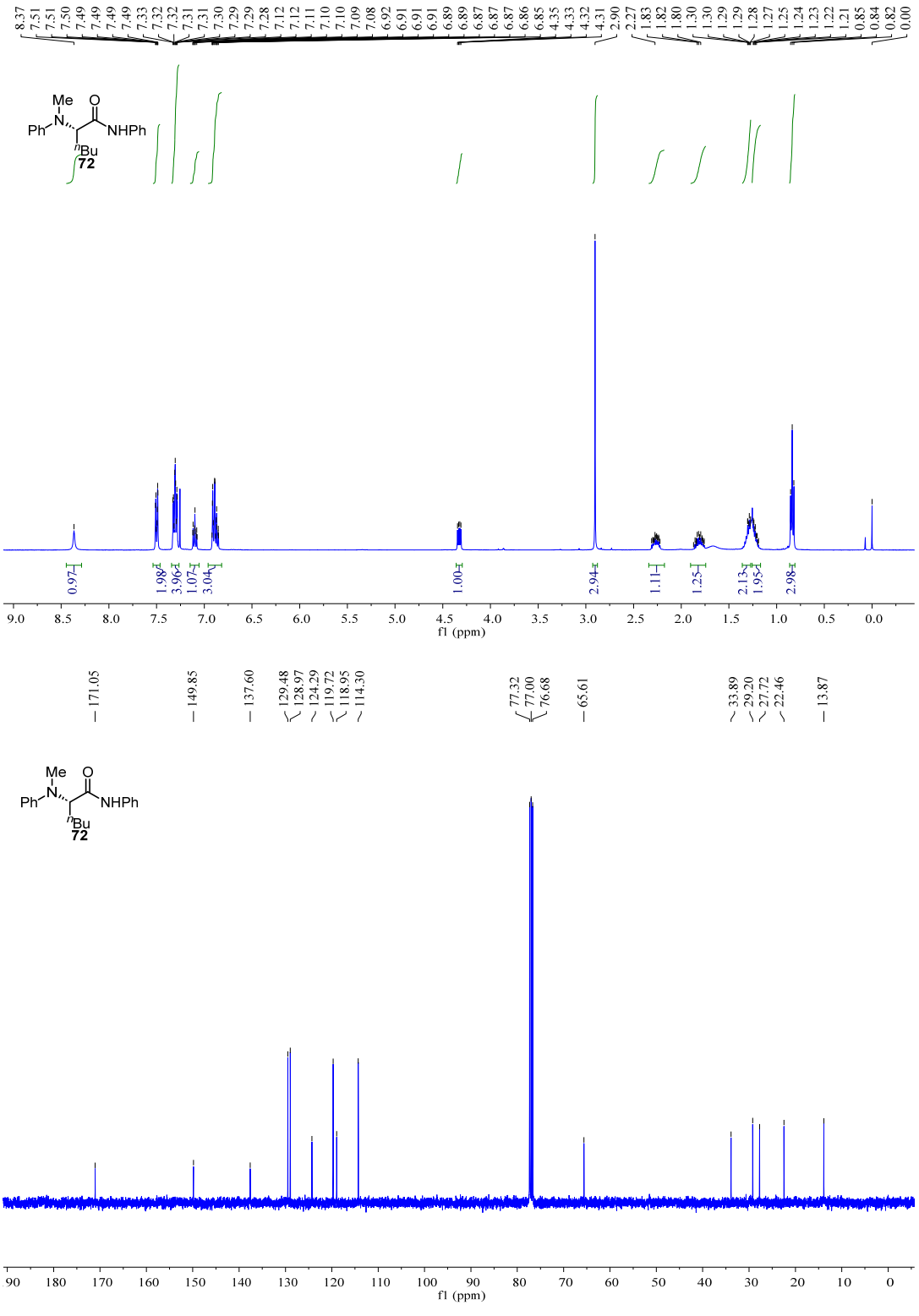

8.76
8.27
8.17
8.09
7.97
7.53
7.52
7.51
7.50
7.32
7.31
7.30
7.29
7.28
7.27
7.21
7.19
7.15
7.12
7.11
7.10
7.09
7.08
6.95
6.93
3.94
3.93
3.91
3.89
3.52
1.62
1.60
NHPh
Me
68
1.00
1.94
2.04
2.01
2.03
1.01
1.06
1.07
3.03
f1 (ppm)
171.72
140.10
137.28
136.57
128.97
124.59
124.32
119.91
119.83
77.32
77.00
76.68
55.53
19.55
NHPh
Me
68
f1 (ppm)

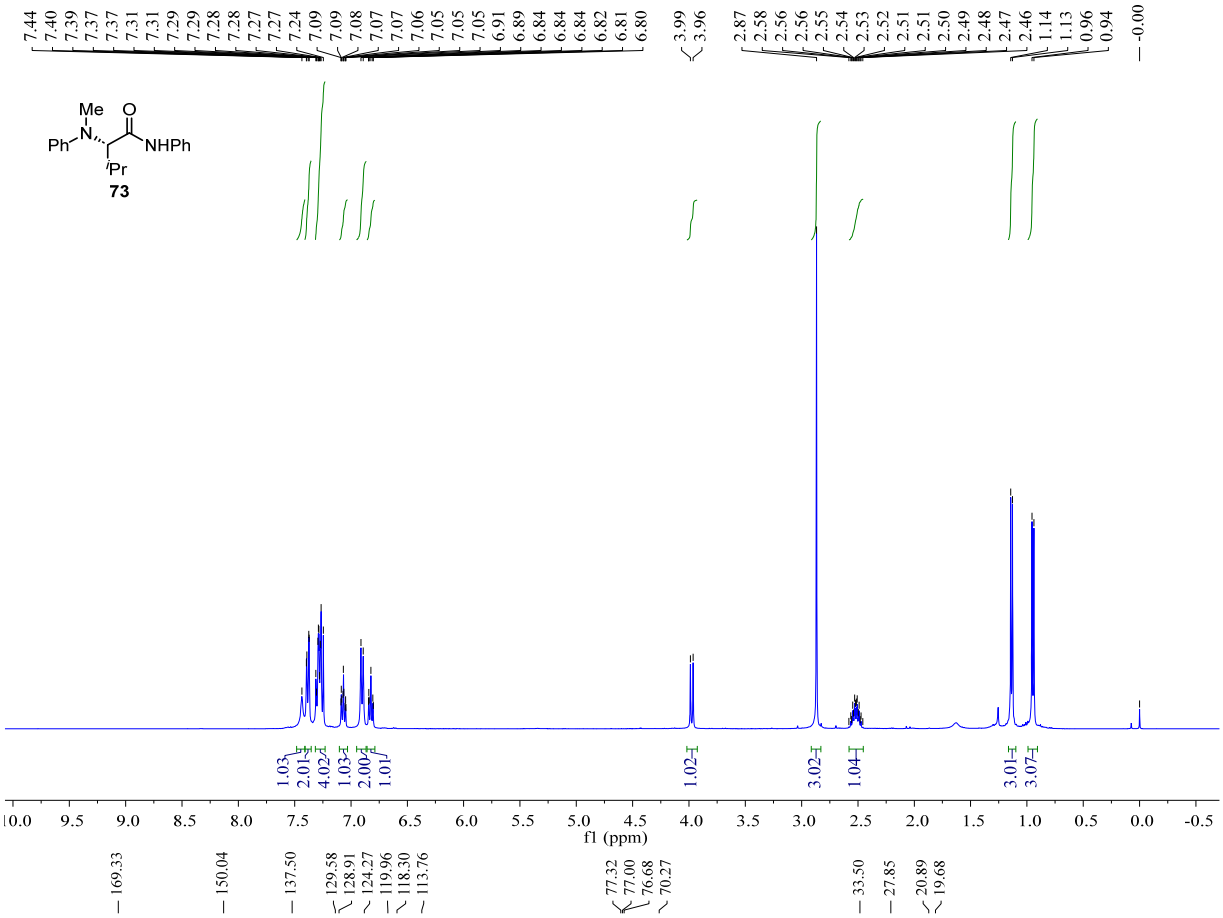

8.92
7.56
7.54
7.32
7.30
7.28
7.12
7.12
7.10
7.09
7.07
5.55
5.55
4.04
3.98
3.96
3.94
3.93
3.90
3.75
3.73
3.71
1.55
1.53
0.00
Me
N
N
H
N
O
NHPh
Me
69
1.00
1.99
2.01
2.00
0.97
0.71
1.17
3.05
3.09
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)
172.36
155.50
137.79
131.47
128.89
124.09
119.62
91.48
77.32
77.00
76.68
56.29
38.57
19.10
Me
N
N
H
N
O
NHPh
Me
69
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

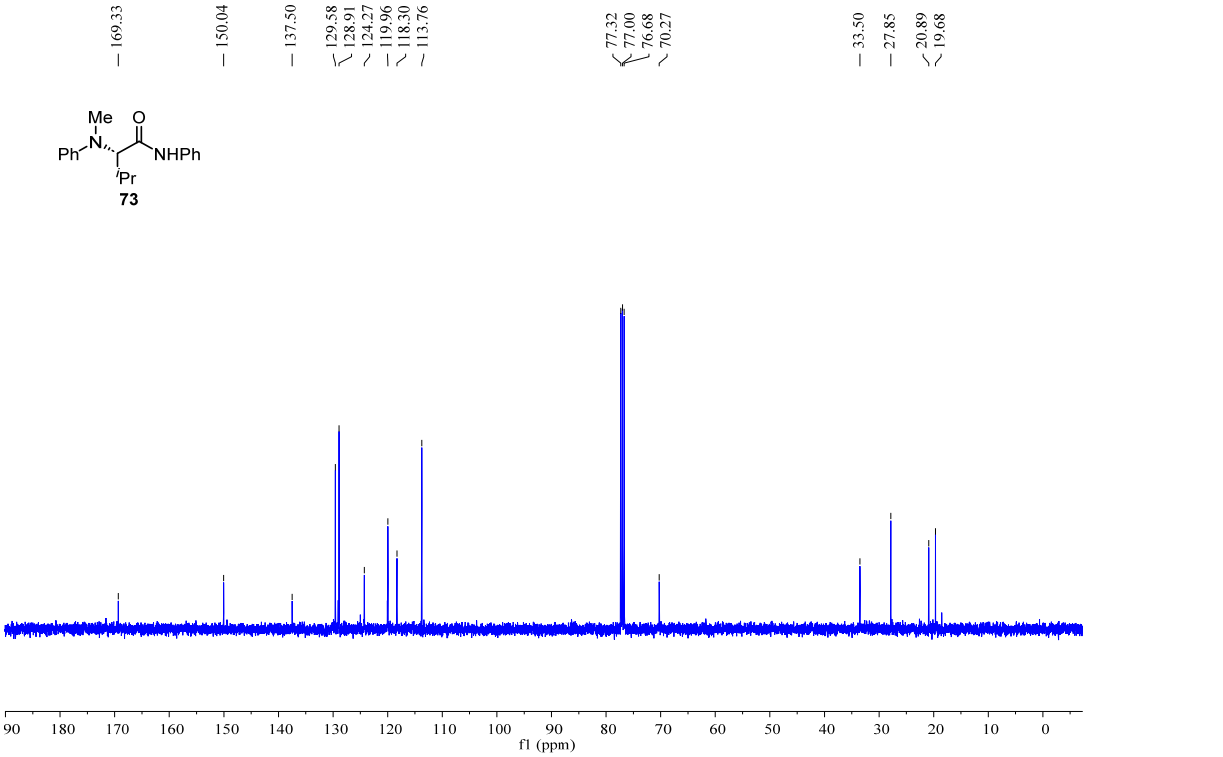

9.59
7.66
7.64
7.47
7.45
7.43
7.41
7.40
7.32
7.30
7.28
7.10
7.08
7.06
3.89
3.88
3.86
3.84
3.21
2.91
2.19
1.54
1.52
0.00
Me
Me
N
H
N
O
NHPh
Me
N
Ph
O
70
0.99
1.99
4.07
2.88
1.06
1.00
0.90
3.08
2.96
3.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)
173.15
162.84
143.10
138.08
134.73
129.18
128.84
126.65
123.98
123.59
119.71
119.12
77.32
77.00
76.68
58.42
37.00
19.81
10.60
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

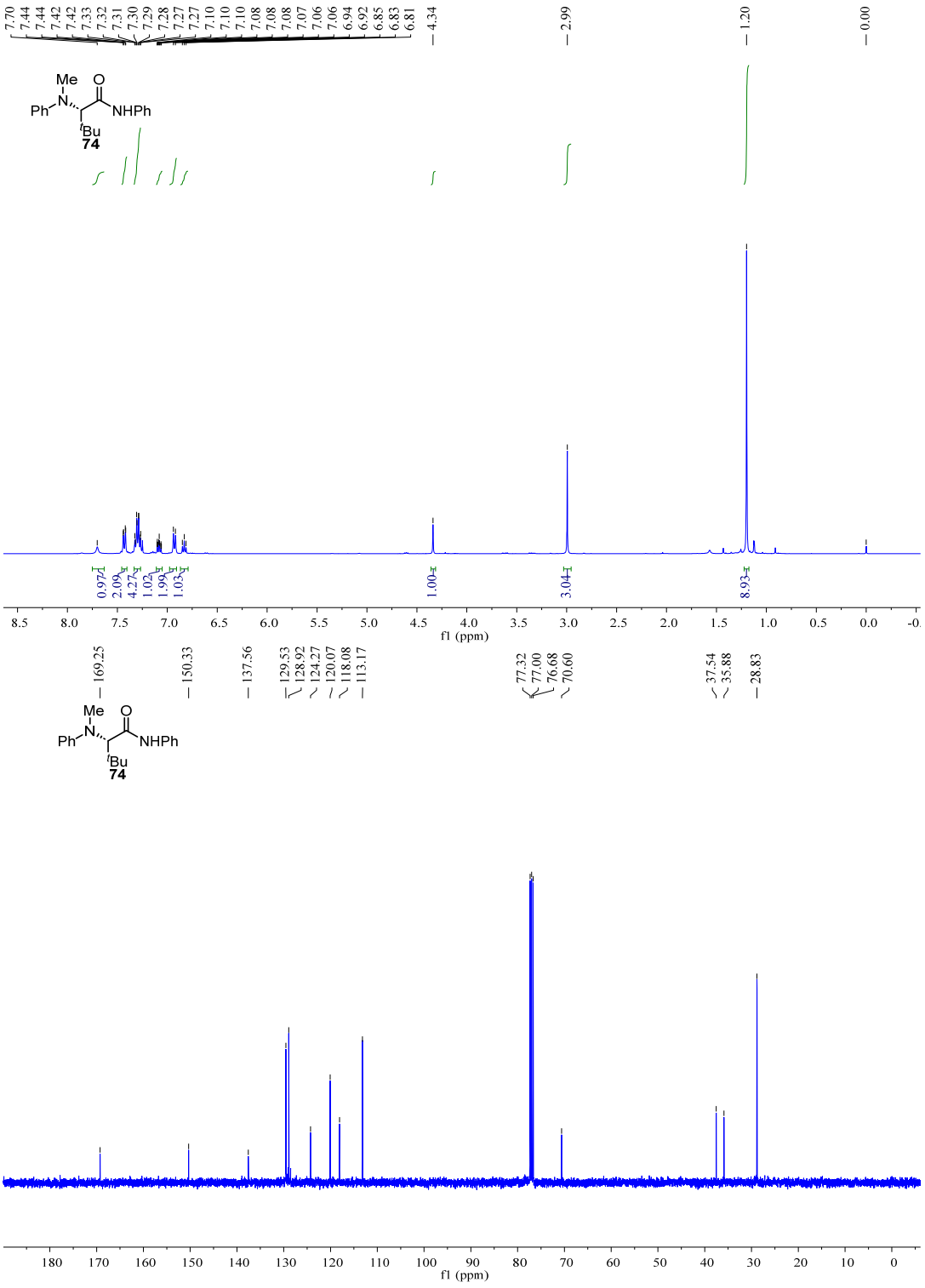

Me
O
Ph
N
NHPh
Et
71
f1 (ppm)

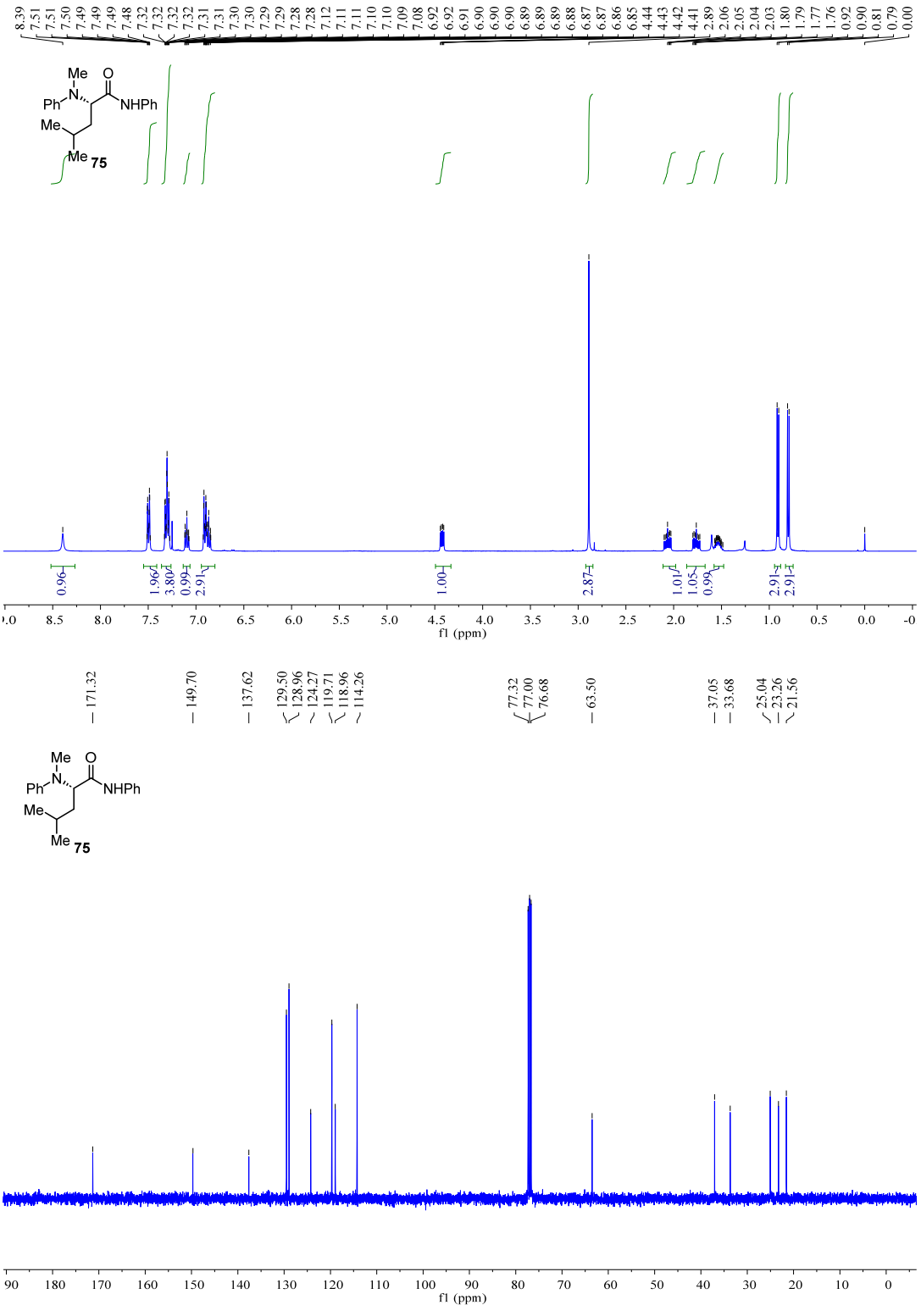

170.85
149.91
137.56
129.43
128.93
124.26
119.71
118.88
114.24
67.05
33.89
21.31
11.78
Me
O
Ph
N
NHPh
Et
71
f1 (ppm)

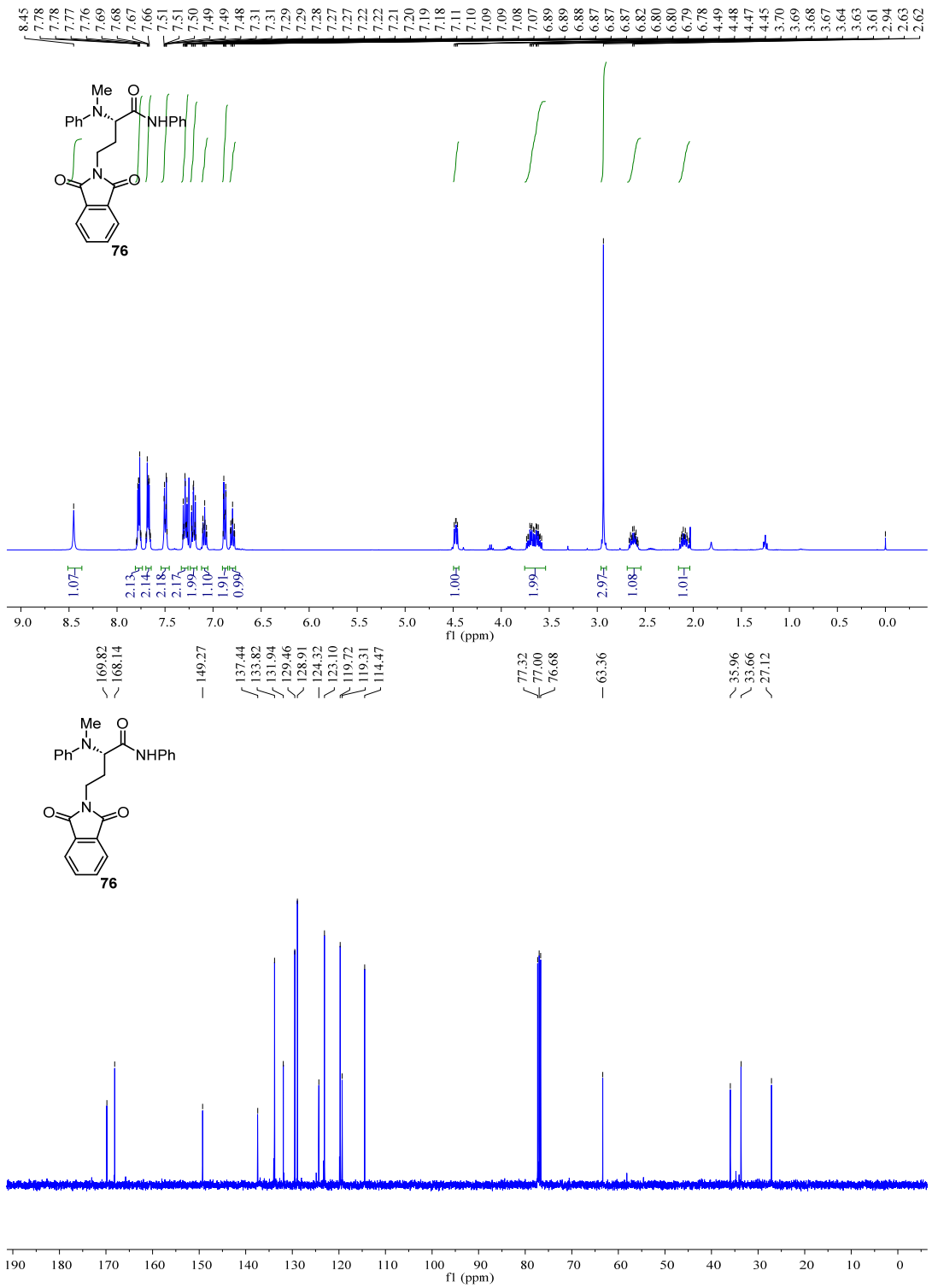

8.37 7.51 7.51 7.50 7.49 7.49 7.49 7.49 7.33 7.32 7.32 7.31 7.31 7.30 7.29 7.29 7.28 7.12 7.12 7.11 7.10 7.10 7.09 7.08 6.92 6.91 6.91 6.91 6.89 6.89 6.87 6.87 6.87 6.86 6.85 4.35 4.33 4.32 4.31 2.90 2.27 1.83 1.82 1.80 1.30 1.29 1.29 1.28 1.27 1.25 1.24 1.23 1.22 1.21 0.85 0.84 0.82 0.00
Me
Ph
N
O
NHPh
nBu
72
0.97 1.98 3.96 1.07 3.04 1.00 2.94 1.11 1.25 2.13 1.95 2.98
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)
171.05 149.85 137.60 129.48 128.97 124.29 119.72 118.95 114.30 77.32 77.00 76.68 65.61 33.89 29.20 27.72 22.46 13.87
Me
Ph
N
O
NHPh
nBu
72
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

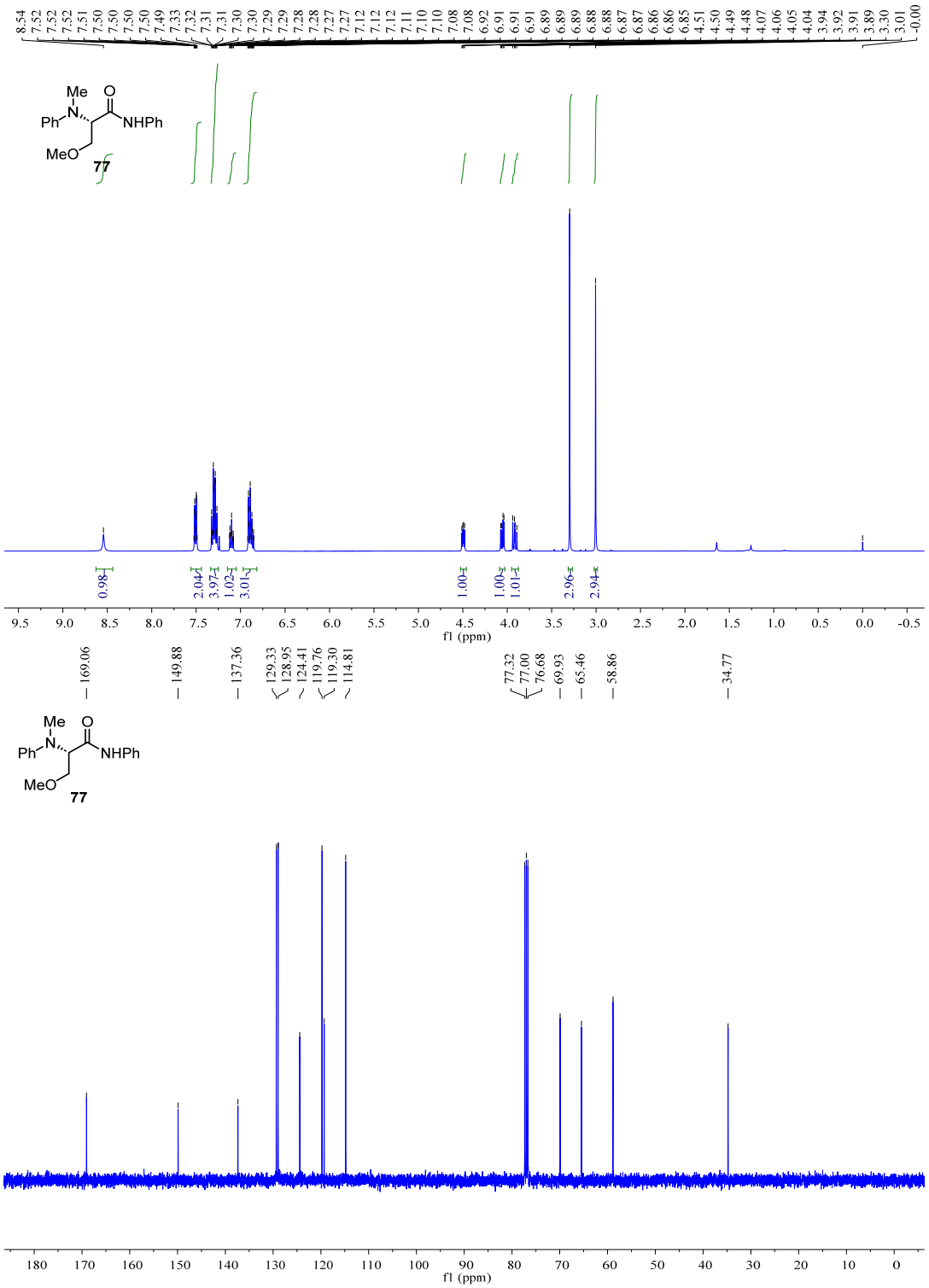

7.44 7.40 7.39 7.37 7.37 7.31 7.31 7.29 7.29 7.28 7.28 7.27 7.27 7.24 7.09 7.09 7.08 7.07 7.07 7.06 7.05 7.05 7.05 6.91 6.89 6.84 6.84 6.84 6.82 6.81 6.80 3.99 3.96 2.87 2.58 2.56 2.56 2.55 2.54 2.53 2.52 2.51 2.51 2.50 2.49 2.48 2.47 2.46 1.14 1.13 0.96 0.94 -0.00
Me
N
Ph
O
NHPh
iPr
73
1.03 2.01 4.02 1.03 2.00 1.01 1.02 3.02 1.04 3.01 3.07
f1 (ppm)

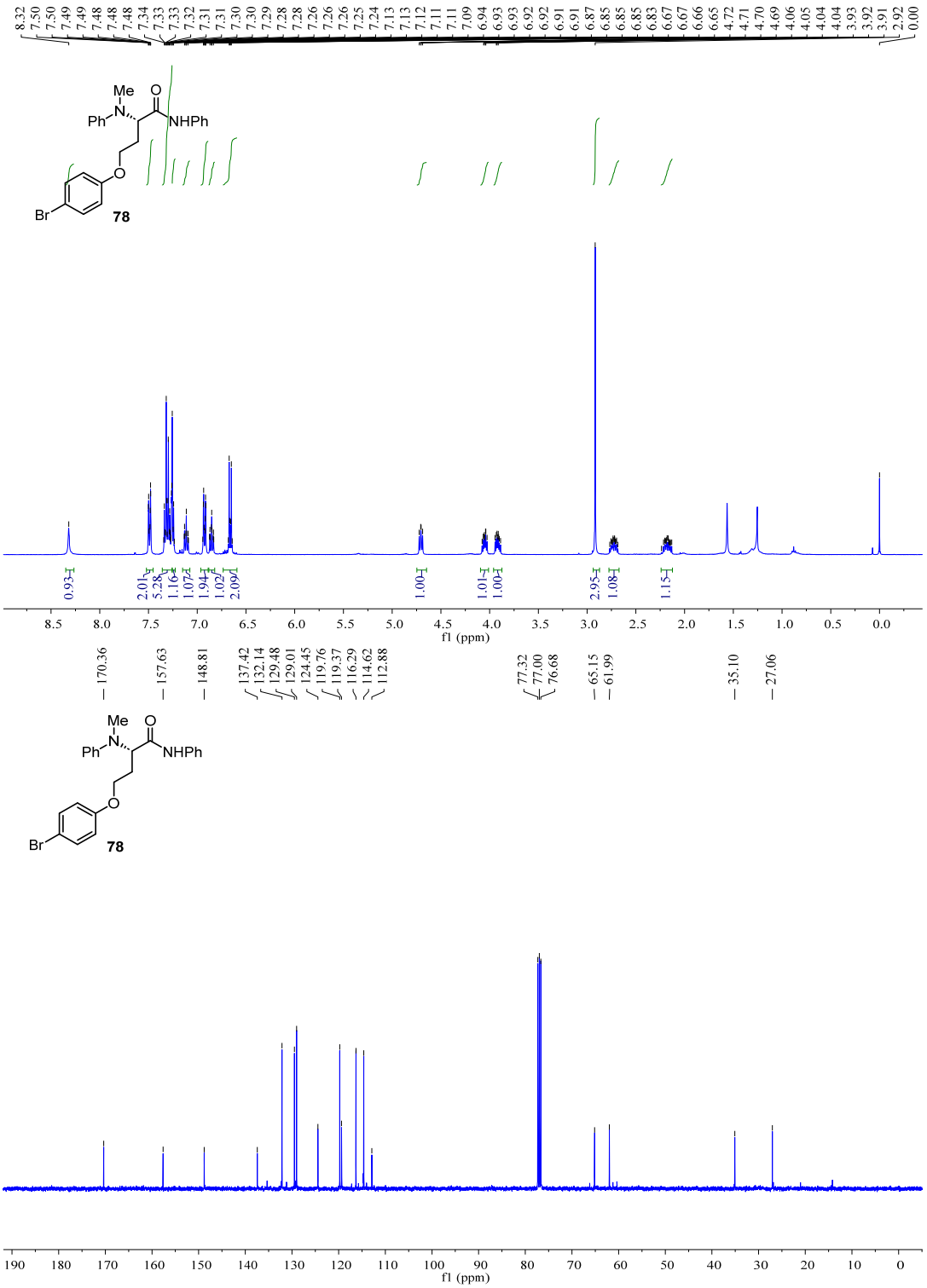

169.33 150.04 137.50 129.58 128.91 124.27 119.96 118.30 113.76 77.32 77.00 76.68 70.27 33.50 27.85 20.89 19.68
Me
N
Ph
O
NHPh
iPr
73
f1 (ppm)

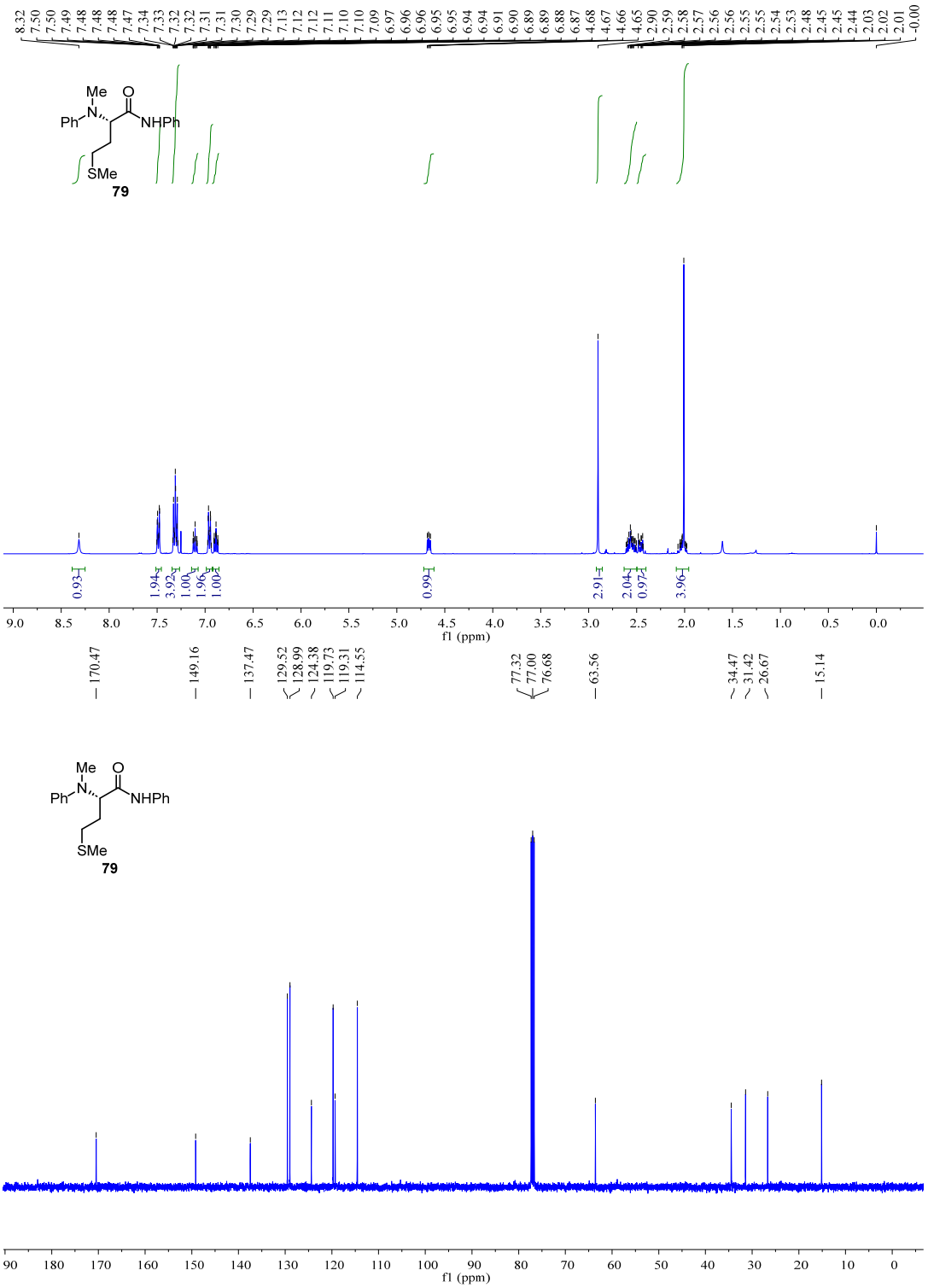

7.70
7.44
7.44
7.42
7.42
7.33
7.32
7.31
7.30
7.29
7.28
7.27
7.27
7.10
7.10
7.08
7.08
7.08
7.07
7.06
7.06
6.94
6.92
6.85
6.83
6.81
4.34
2.99
1.20
0.00
Me
O
Ph
N
NHPh
tBu
74
0.97
2.09
4.27
1.02
1.99
1.03
1.00
3.04
8.93
f1 (ppm)
169.25
150.33
137.56
129.53
128.92
124.27
120.07
118.08
113.17
77.32
77.00
76.68
70.60
37.54
35.88
28.83
f1 (ppm)

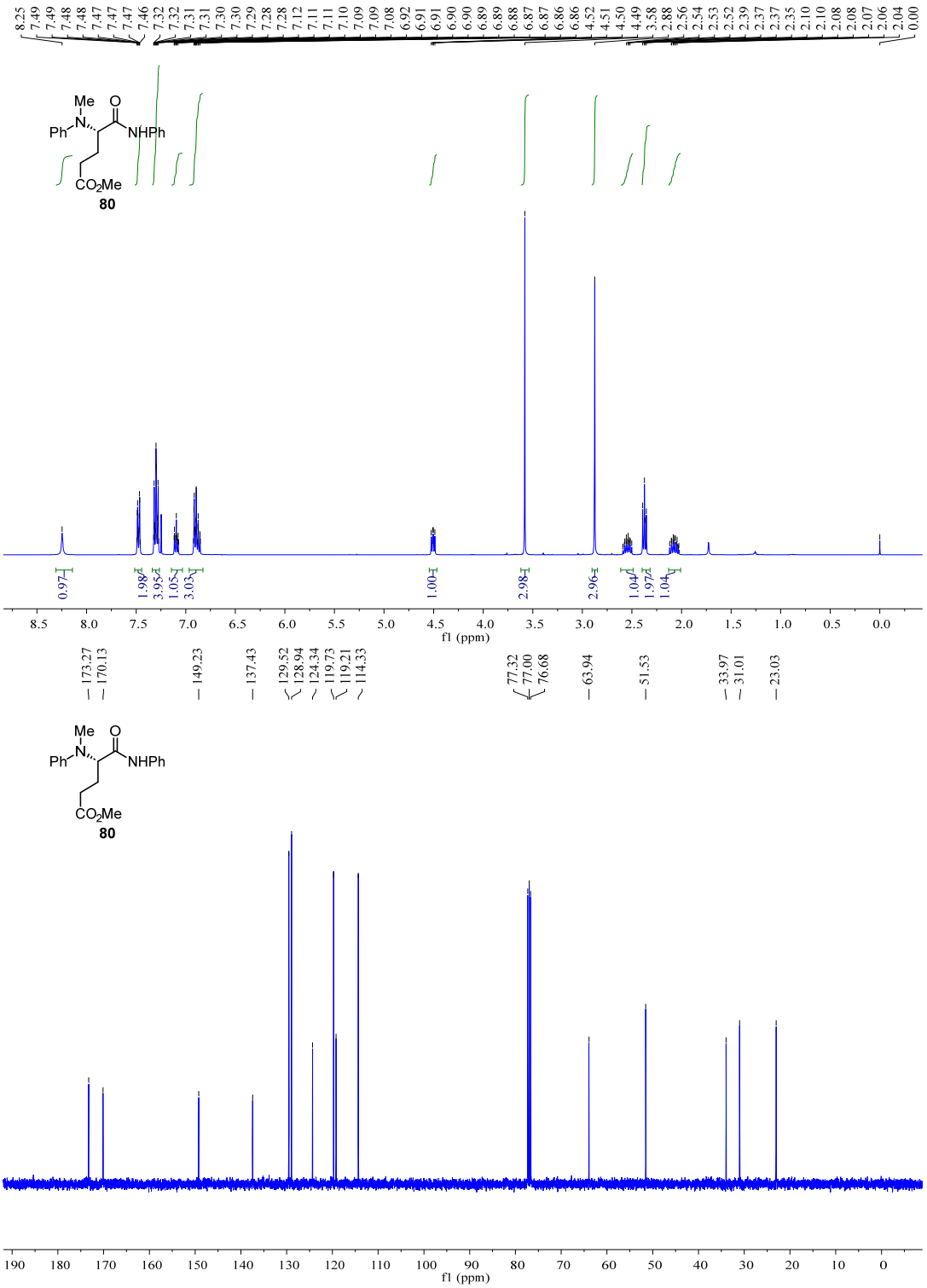

Me
O
Ph
N
NHPh
Me
Me
75
f1 (ppm)
171.32
149.70
137.62
129.50
128.96
124.27
119.71
118.96
114.26
77.32
77.00
76.68
63.50
37.05
33.68
25.04
23.26
21.56

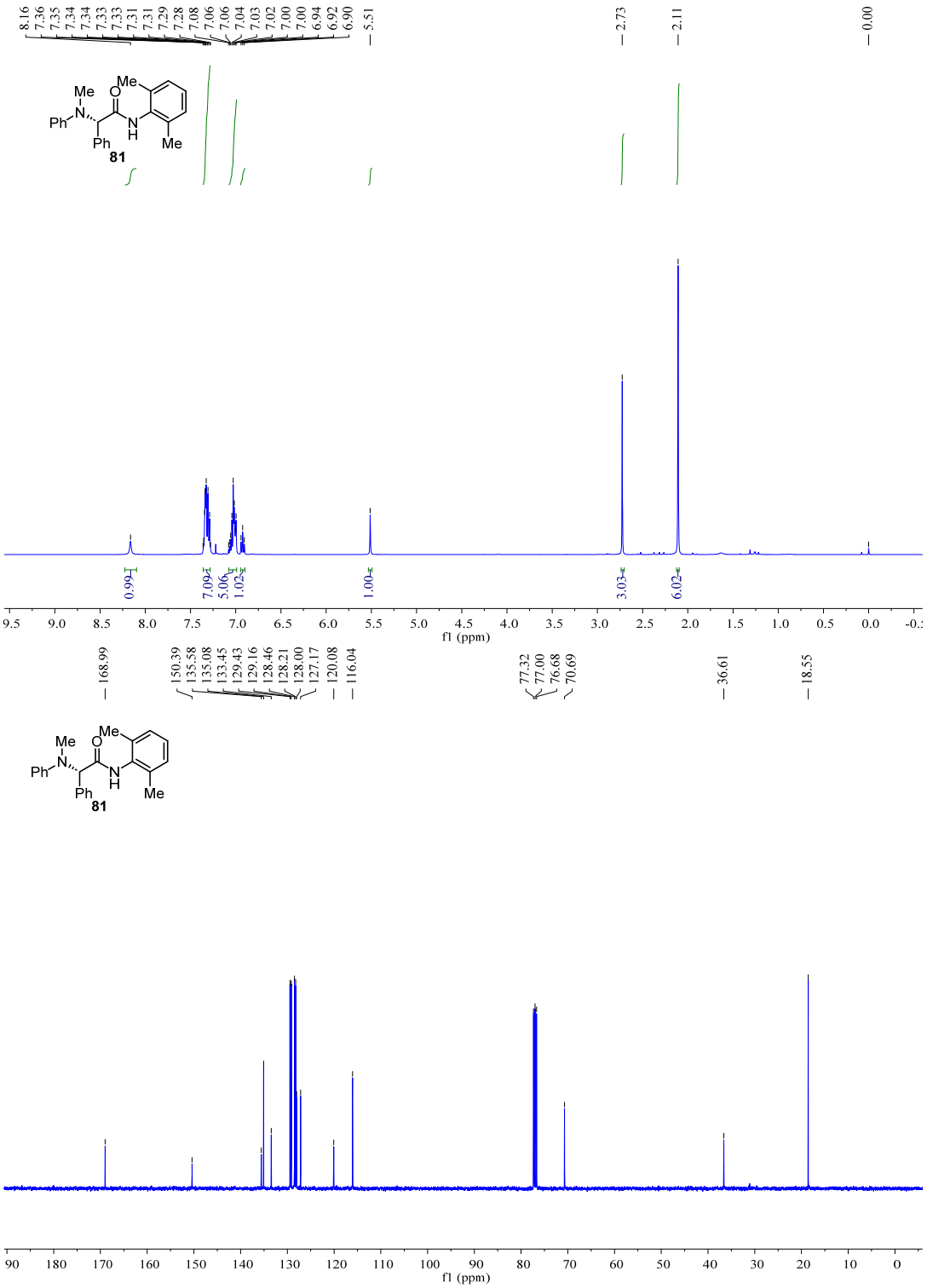

8.45 7.78 7.78 7.77 7.76 7.69 7.68 7.67 7.66 7.51 7.51 7.50 7.49 7.49 7.48 7.31 7.31 7.29 7.29 7.28 7.27 7.27 7.22 7.21 7.20 7.19 7.18 7.11 7.10 7.09 7.09 7.08 7.07 6.89 6.89 6.88 6.87 6.87 6.87 6.82 6.80 6.80 6.79 6.78 4.49 4.48 4.47 4.45 3.70 3.69 3.68 3.67 3.64 3.63 3.61 2.94 2.63 2.62
Me
Ph
N
O
NHPh
O
N
O
76
1.07 2.13 2.14 2.18 2.17 1.99 1.10 1.91 0.99 1.00 1.99 2.97 1.08 1.01
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)
169.82 168.14 149.27 137.44 133.82 131.94 129.46 128.91 124.32 123.10 119.72 119.31 114.47 77.32 77.00 76.68 63.36 35.96 33.66 27.12
Me
Ph
N
O
NHPh
O
N
O
76
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

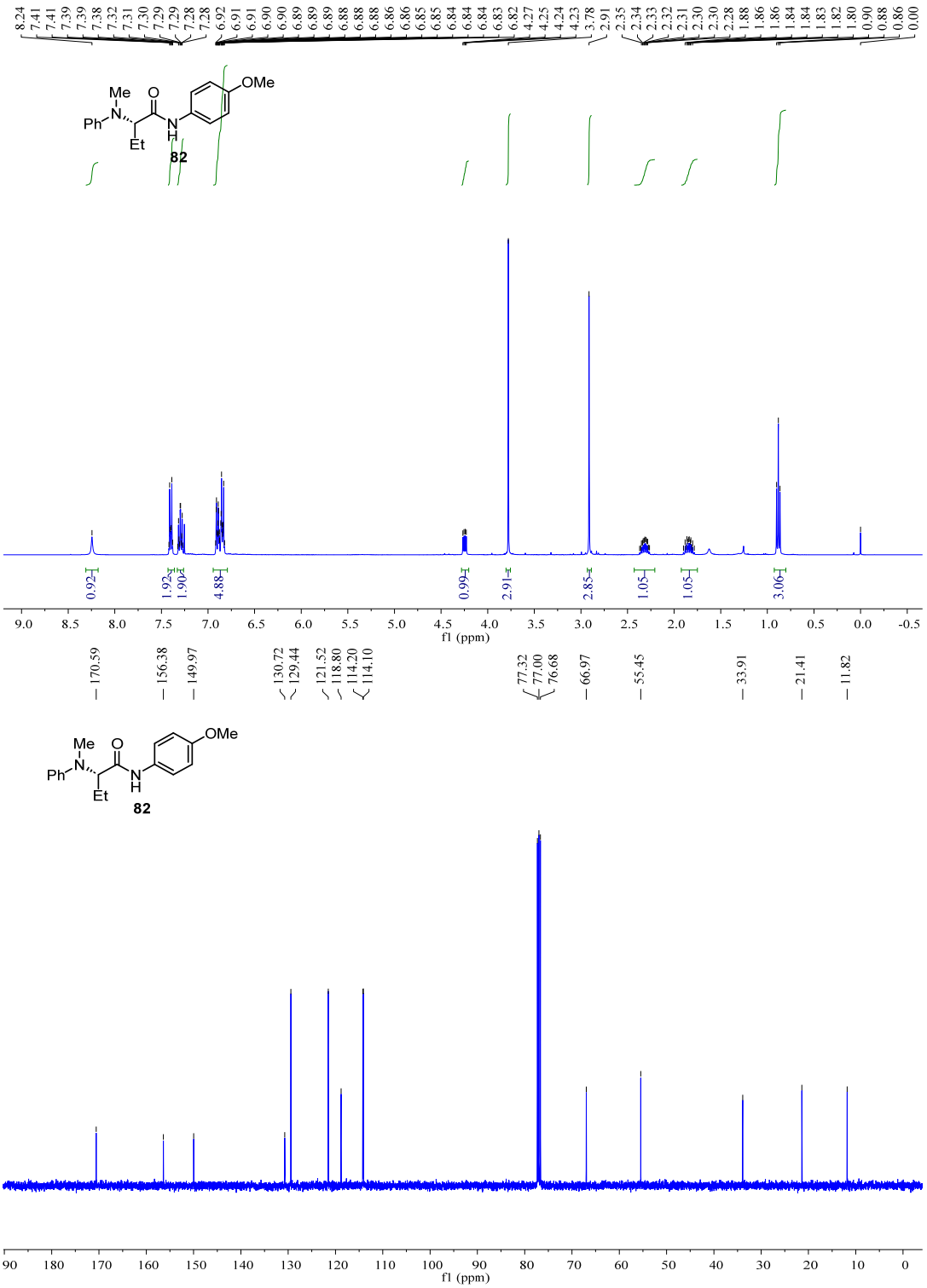

77
fl (ppm)
77

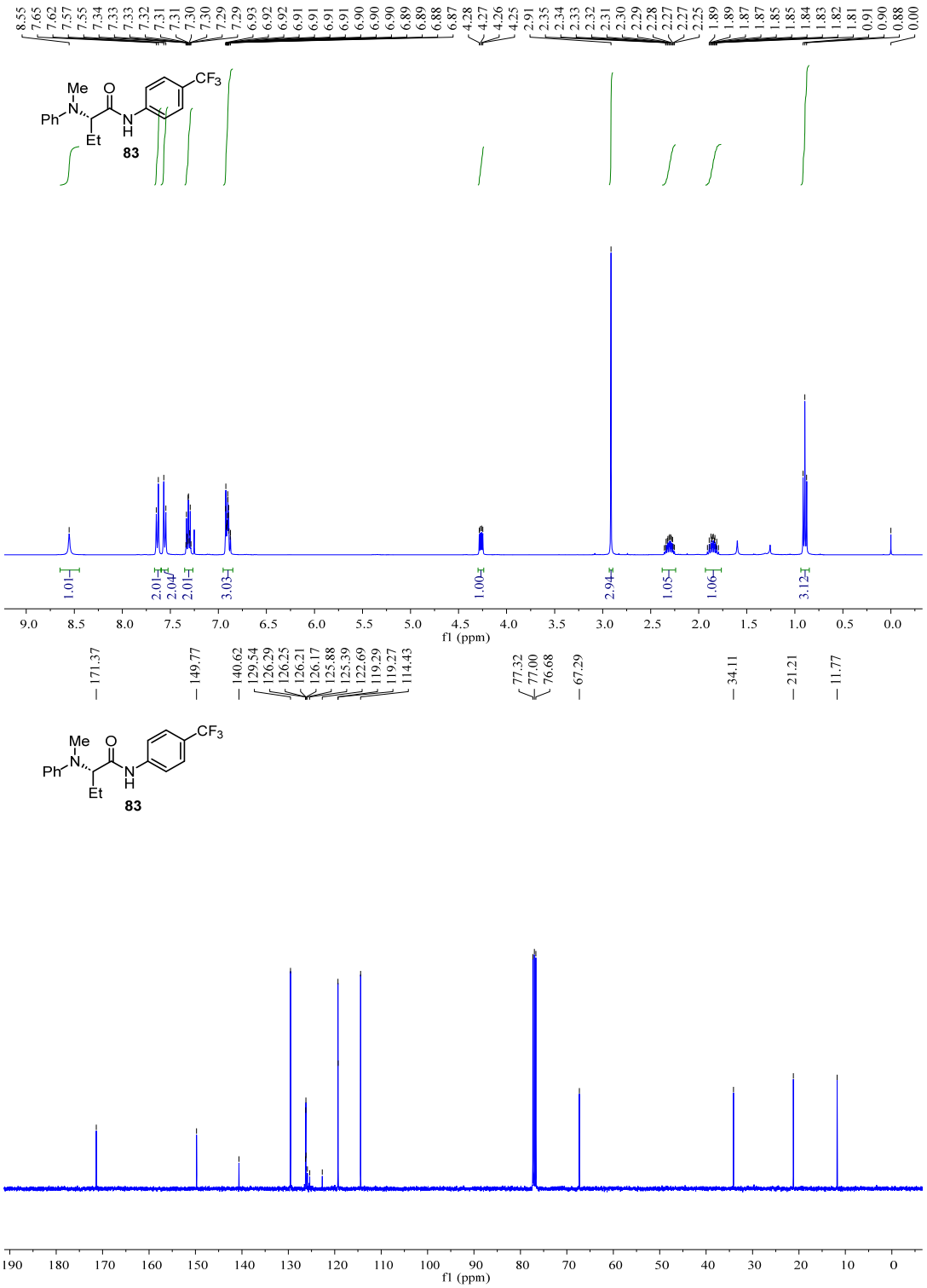

Me
Ph
N
O
NHPh
O
Br
78
fl (ppm)
fl (ppm)


Me
O
Ph
N
NHPh
SMe
79
fl (ppm)


Me
O
Ph
N
NHPh
CO2Me
80
fl (ppm)
Me
O
Ph
N
NHPh
CO2Me
80
fl (ppm)

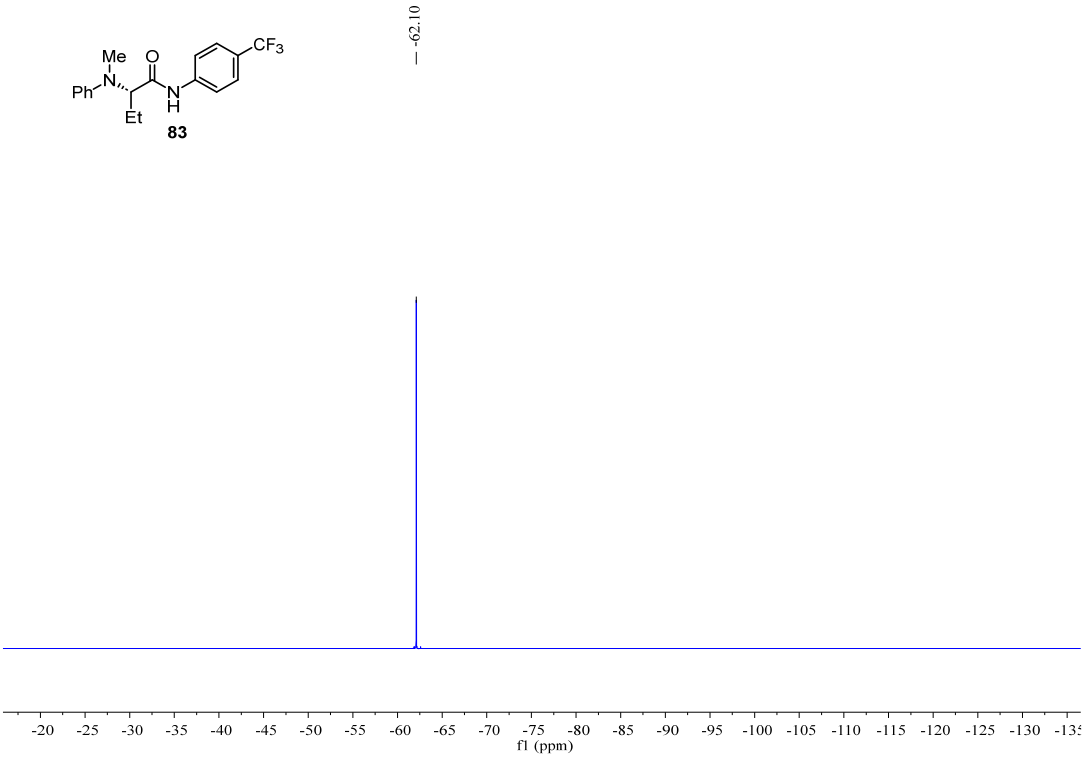

8.16
7.36
7.35
7.34
7.34
7.33
7.33
7.31
7.31
7.29
7.28
7.08
7.06
7.06
7.04
7.03
7.02
7.00
7.00
6.94
6.92
6.90
5.51
2.73
2.11
0.00
Me
O
Me
Ph
N
N
H
Ph
Me
81
0.99
7.09
5.06
1.02
1.00
3.03
6.02
fl (ppm)
168.99
150.39
135.58
135.08
133.45
129.43
129.16
128.46
128.21
128.00
127.17
120.08
116.04
77.32
77.00
76.68
70.69
36.61
18.55
fl (ppm)

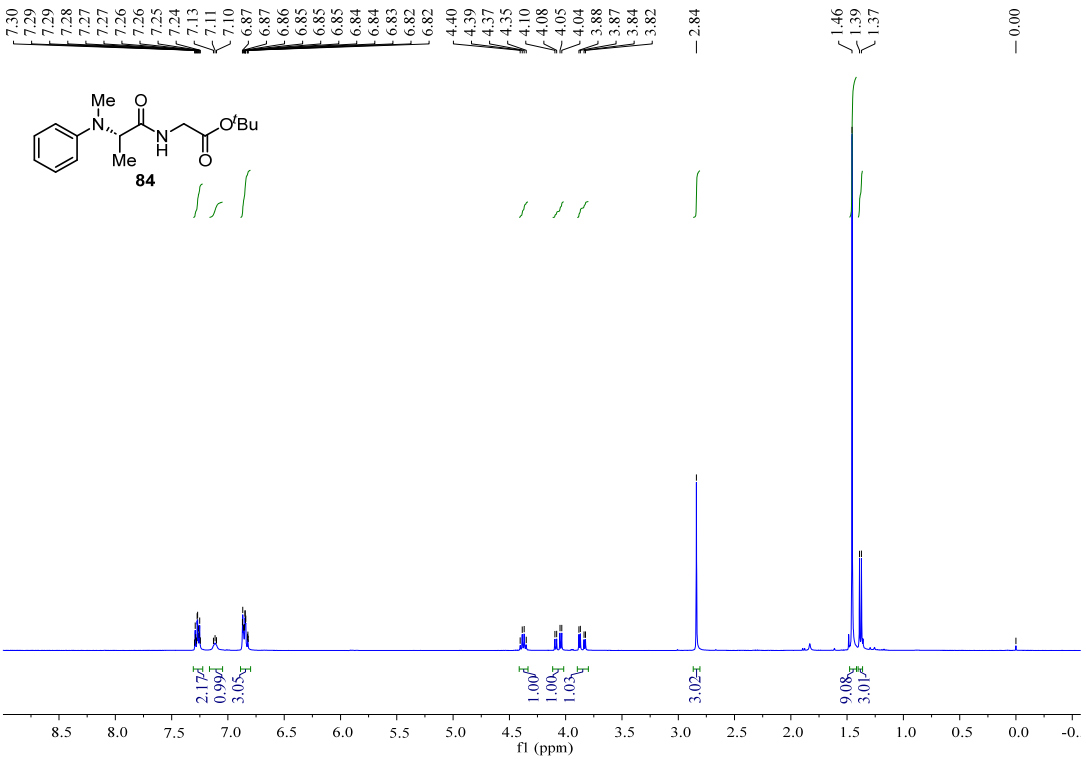

8.24 7.41 7.41 7.39 7.39 7.38 7.32 7.31 7.30 7.29 7.29 7.28 7.28 6.92 6.91 6.91 6.90 6.90 6.89 6.89 6.89 6.88 6.88 6.88 6.86 6.86 6.85 6.85 6.84 6.84 6.84 6.83 6.82 4.27 4.25 4.24 4.23 3.78 2.91 2.35 2.34 2.33 2.32 2.31 2.30 2.30 2.28 1.88 1.86 1.86 1.84 1.84 1.83 1.82 1.80 0.90 0.88 0.86 0.00
Me
O
N
Ph
Et
N
H
OMe
82
0.92 1.92 1.90 4.88 0.99 2.91 2.85 1.05 1.05 3.06
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 -0.5
f1 (ppm)
170.59 156.38 149.97 130.72 129.44 121.52 118.80 114.20 114.10 77.32 77.00 76.68 66.97 55.45 33.91 21.41 11.82
Me
O
N
Ph
Et
N
H
OMe
82
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

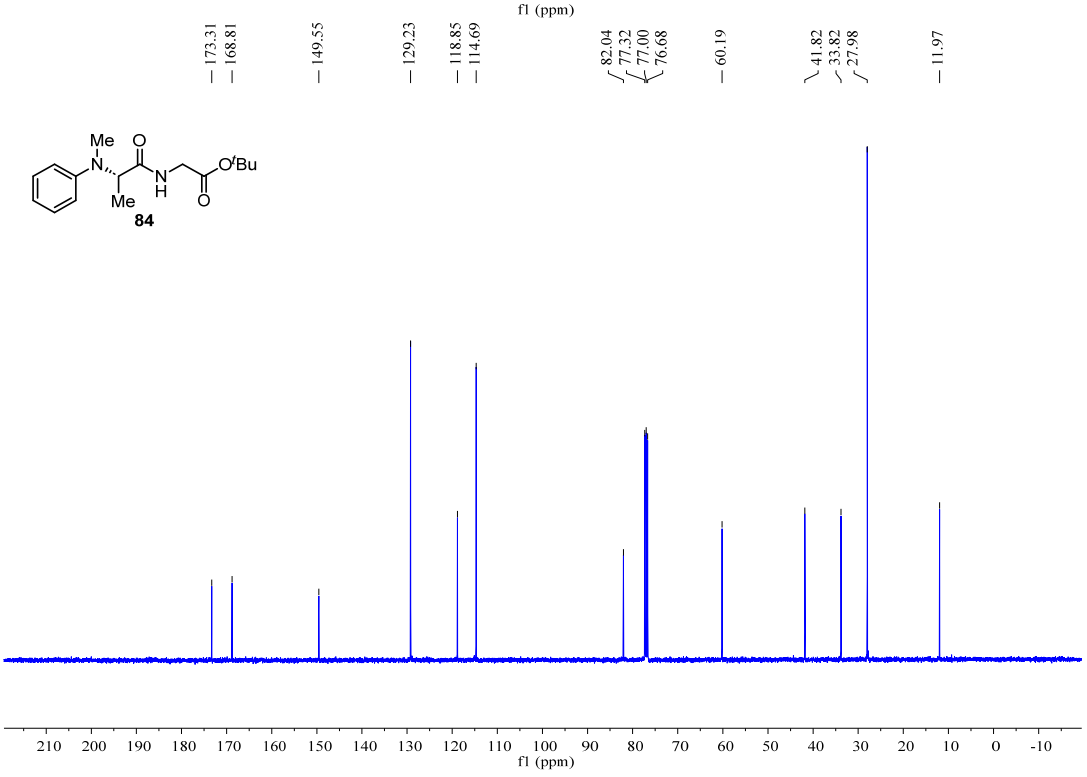

8.55 7.65 7.62 7.57 7.55 7.34 7.33 7.33 7.32 7.31 7.31 7.30 7.30 7.29 7.29 6.93 6.92 6.92 6.91 6.91 6.91 6.90 6.90 6.90 6.89 6.89 6.88 6.87 4.28 4.27 4.26 4.25 2.91 2.35 2.34 2.33 2.32 2.31 2.30 2.29 2.28 2.27 2.27 2.25 1.89 1.89 1.87 1.87 1.85 1.85 1.84 1.83 1.82 1.81 0.91 0.90 0.88 0.00
Me
O
CF3
Ph
N
N
H
Et
83
1.01 2.01 2.04 2.01 3.03 1.00 2.94 1.05 1.06 3.12
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)
171.37 149.77 140.62 129.54 126.29 126.25 126.21 126.17 125.88 125.39 122.69 119.29 119.27 114.43 77.32 77.00 76.68 67.29 34.11 21.21 11.77
Me
O
CF3
Ph
N
N
H
Et
83
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

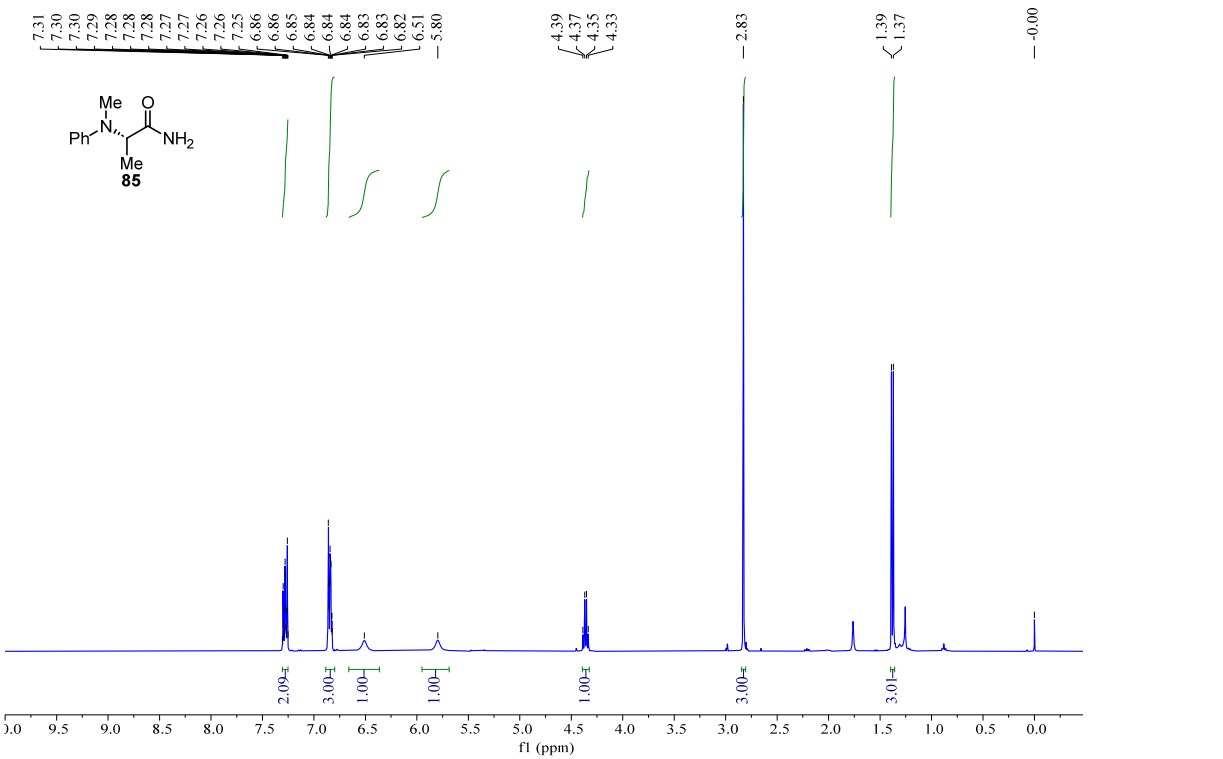
Me
O
CF3
Ph
N
N
H
Et

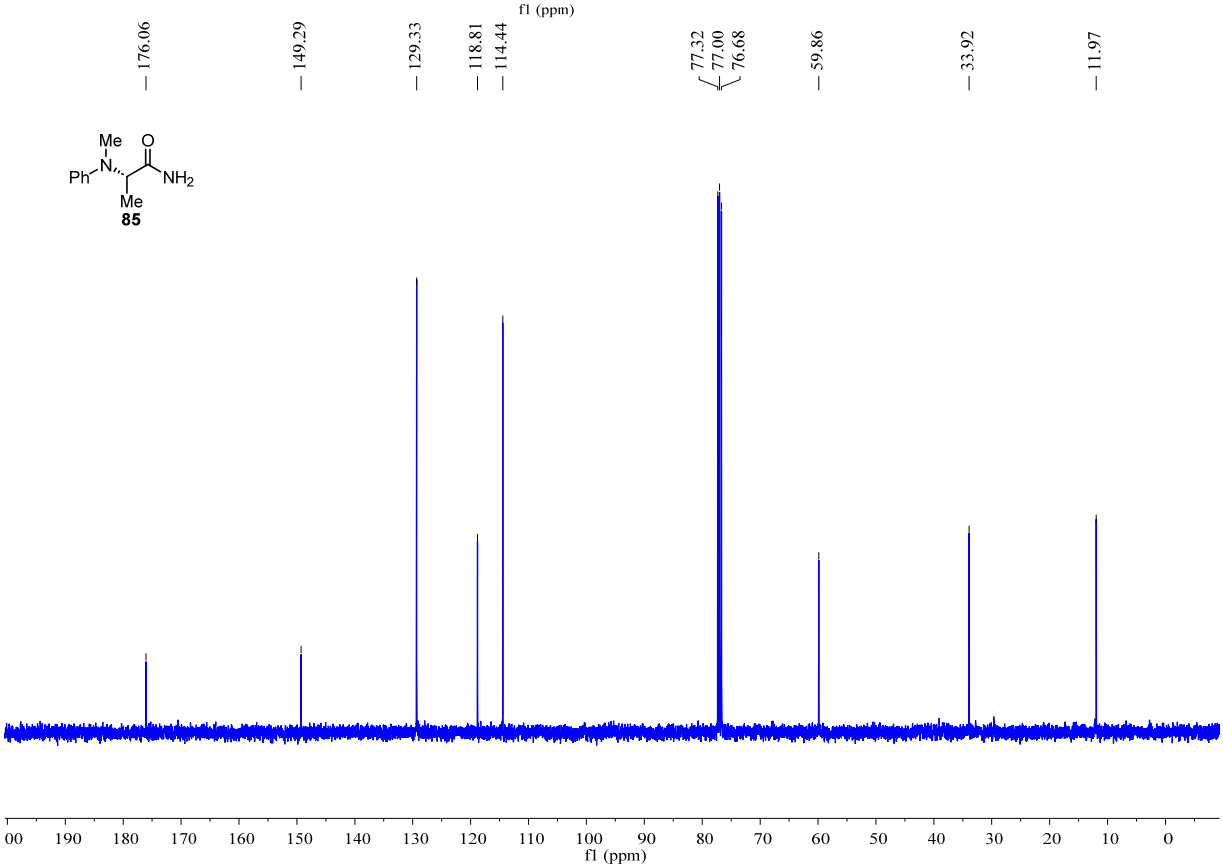
83

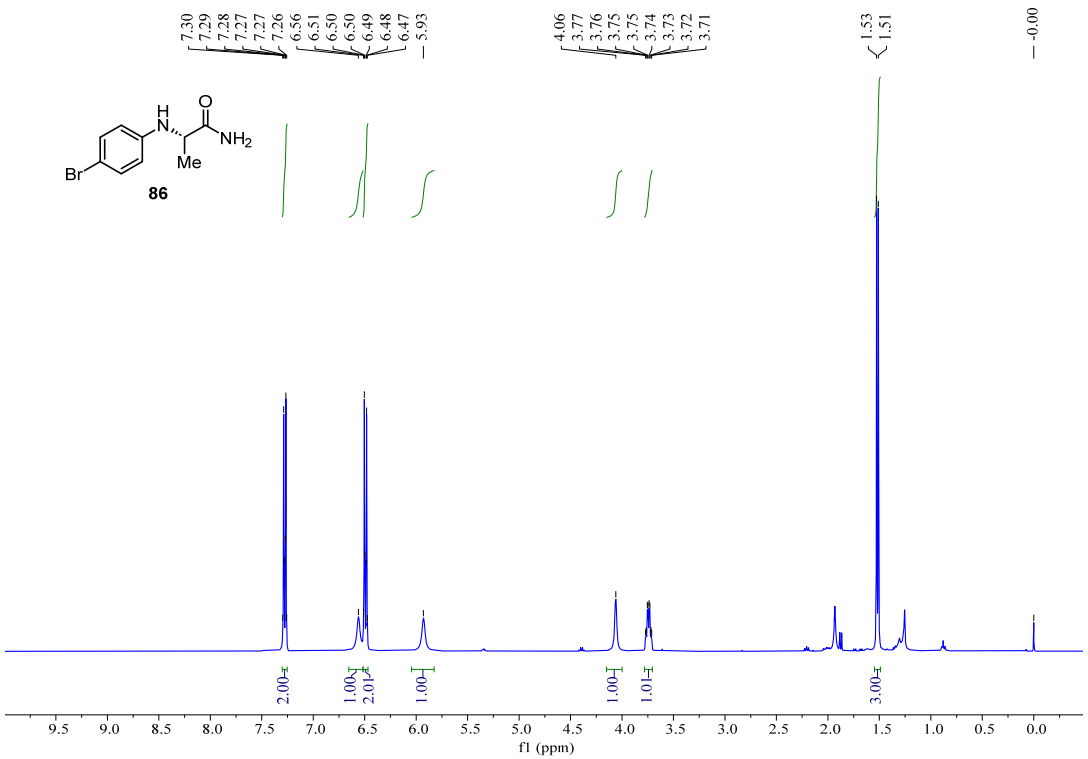

-62.10
-20
-25
-30
-35
-40
-45
-50
-55
-60
-65
-70
-75
-80
-85
-90
-95
-100
-105
-110
-115
-120
-125
-130
f1 (ppm)

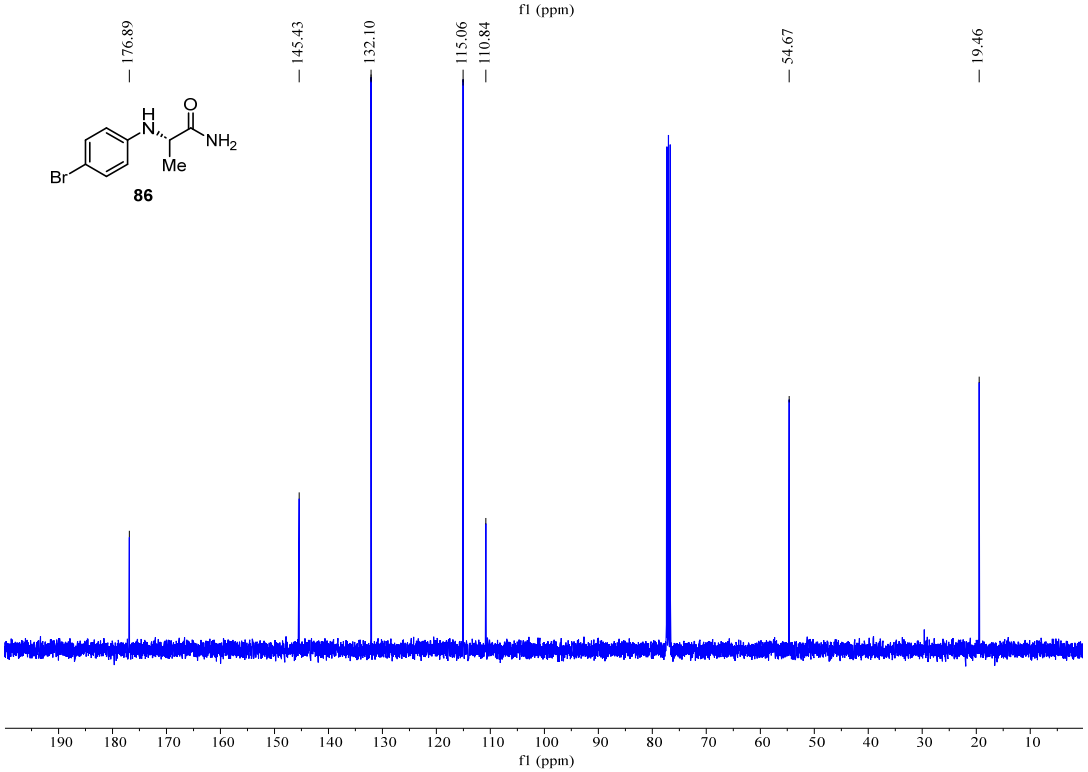

7.30 7.29 7.29 7.28 7.27 7.27 7.26 7.26 7.25 7.24 7.13 7.11 7.10 6.87 6.87 6.86 6.85 6.85 6.85 6.84 6.84 6.83 6.82 6.82 4.40 4.39 4.37 4.35 4.10 4.08 4.05 4.04 3.88 3.87 3.84 3.82 2.84 1.46 1.39 1.37 0.00
Me
N
O
N
H
O
O'Bu
Me
84
2.17 0.99 3.05 1.00 1.00 1.03 3.02 9.08 3.01
8.5 8.0 7.5 7.0 6.5 6.0 5.5 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)

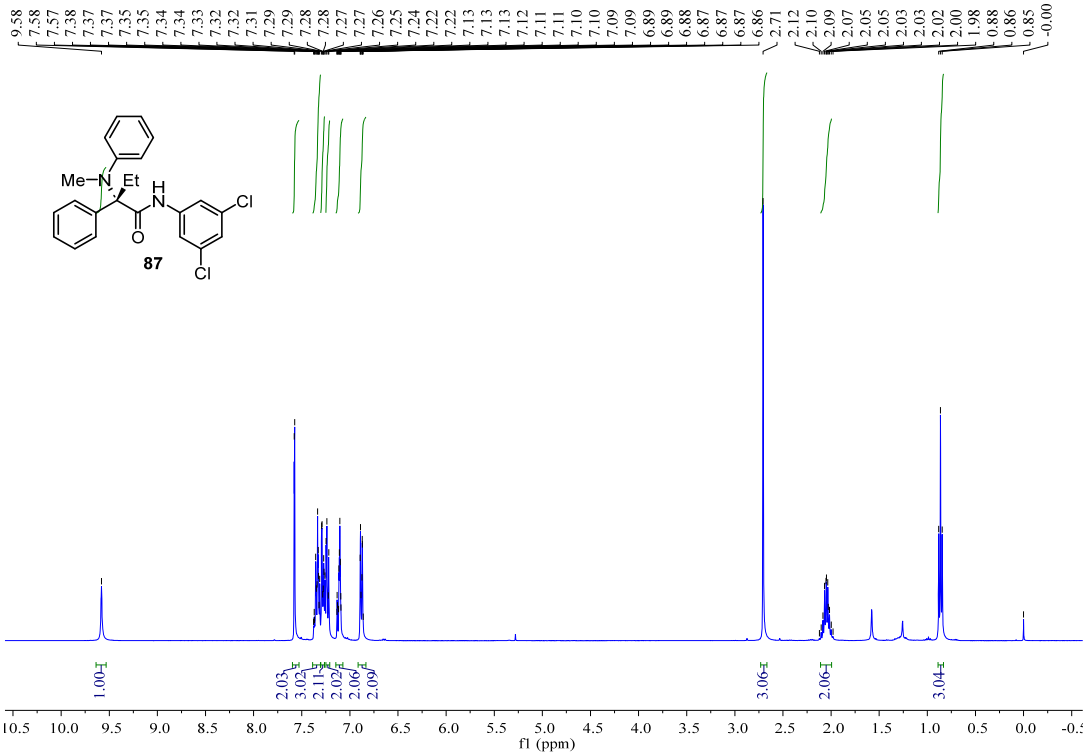

173.31 168.81 149.55 129.23 118.85 114.69 82.04 77.32 77.00 76.68 60.19 41.82 33.82 27.98 11.97
Me
N
O
N
H
O
O'Bu
Me
84
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

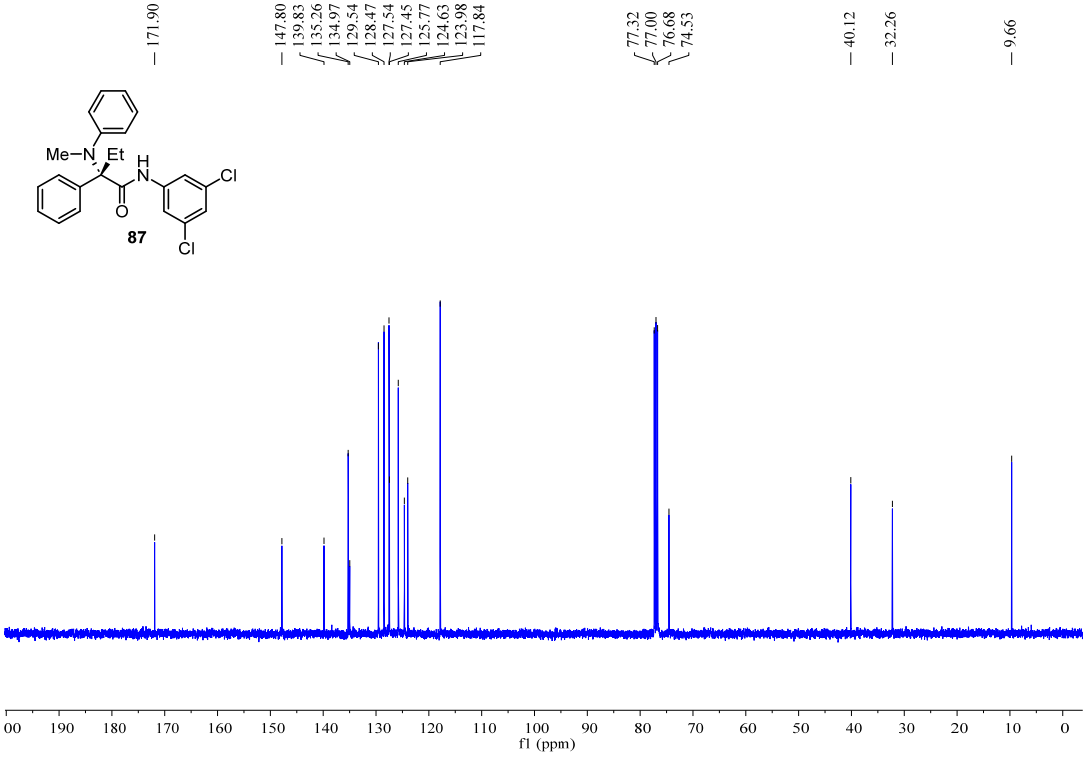

7.31
7.30
7.30
7.29
7.28
7.28
7.28
7.27
7.27
7.26
7.26
7.25
6.86
6.85
6.84
6.84
6.84
6.83
6.83
6.82
6.51
5.80
4.39
4.37
4.35
4.33
2.83
1.39
1.37
-0.00
Me
Ph
N
O
NH2
Me
85
2.09
3.00
1.00
1.00
1.00
3.00
3.01
f1 (ppm)

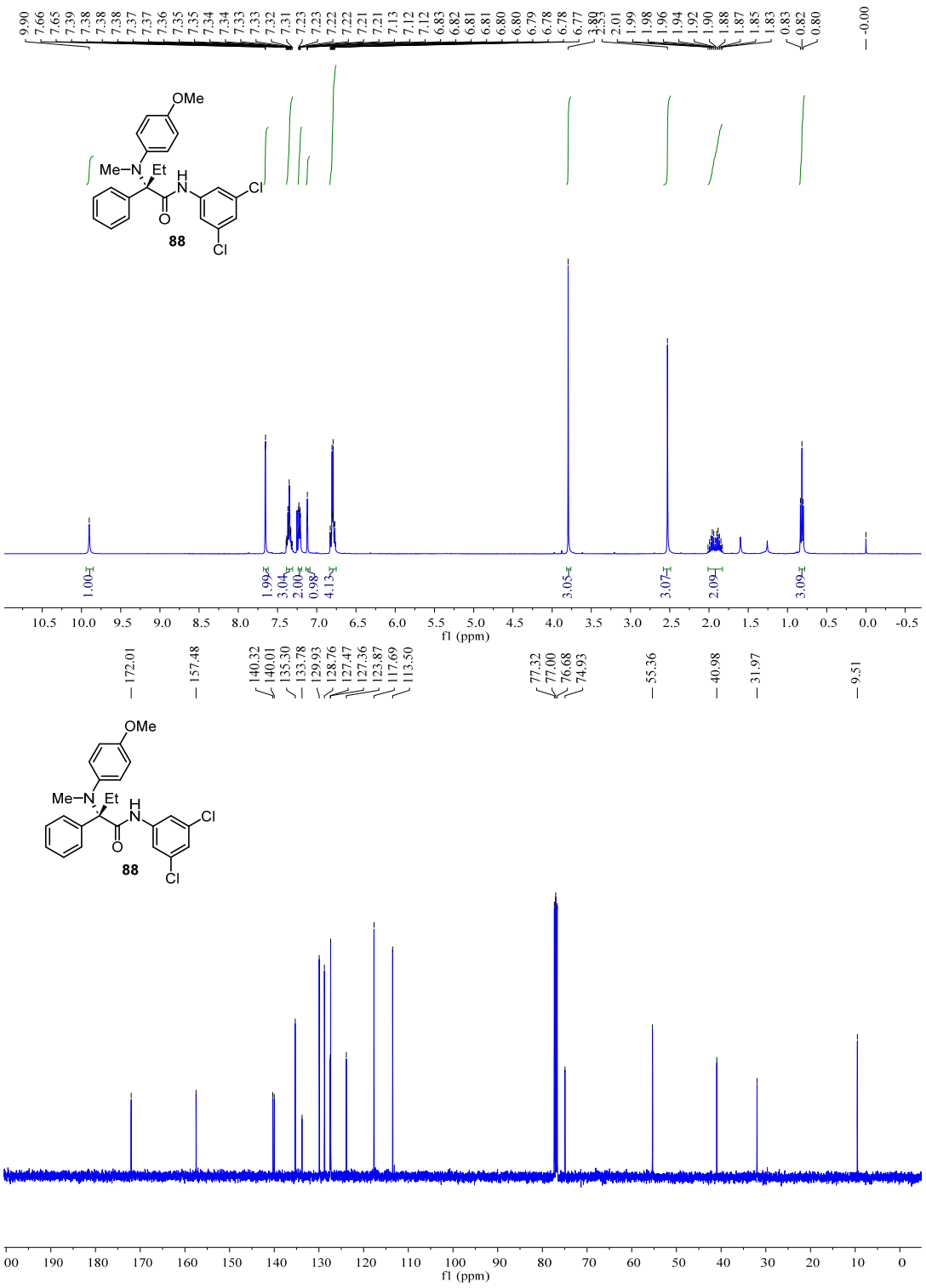

176.06
149.29
129.33
118.81
114.44
77.32
77.00
76.68
59.86
33.92
11.97
Me
Ph
N
O
NH2
Me
85
f1 (ppm)

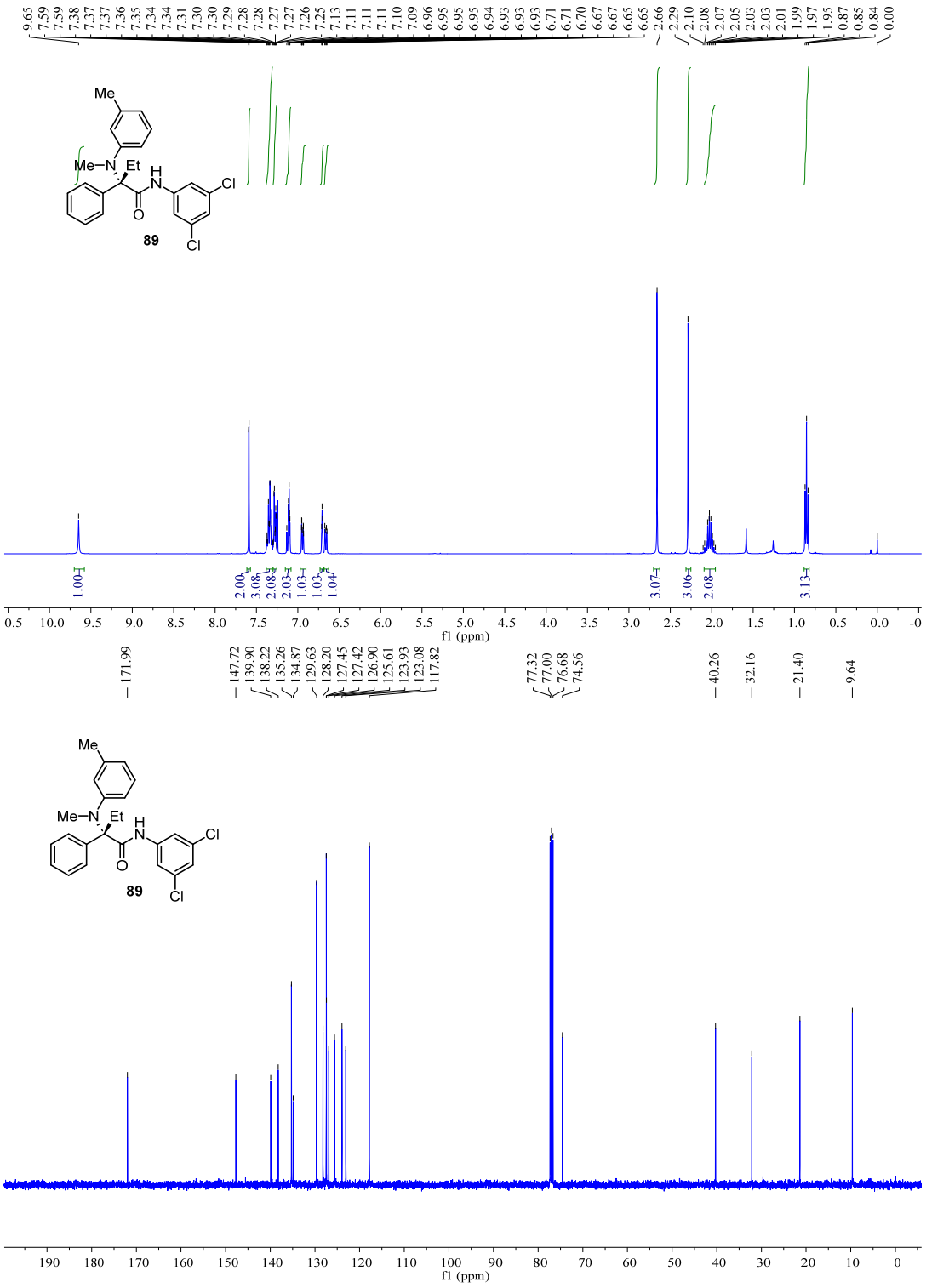

7.30
7.29
7.28
7.27
7.27
7.26
6.56
6.51
6.50
6.50
6.49
6.48
6.47
5.93
4.06
3.77
3.76
3.75
3.75
3.74
3.73
3.72
3.71
1.53
1.51
0.00
Br
NH2
Me
86
2.00
1.00
2.01
1.00
1.00
1.01
3.00
f1 (ppm)

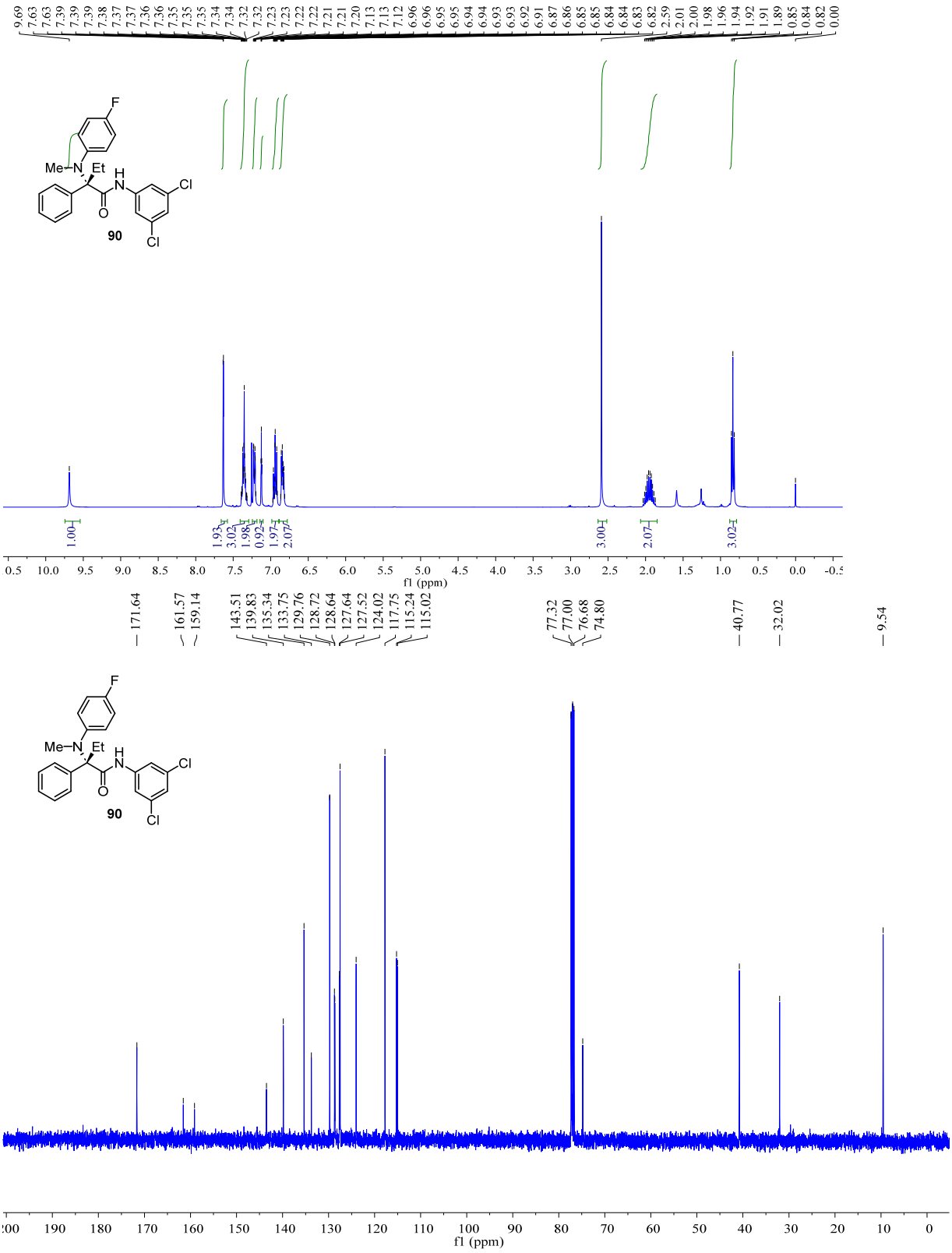

176.89
145.43
132.10
115.06
110.84
54.67
19.46
Br
NH2
Me
86
f1 (ppm)

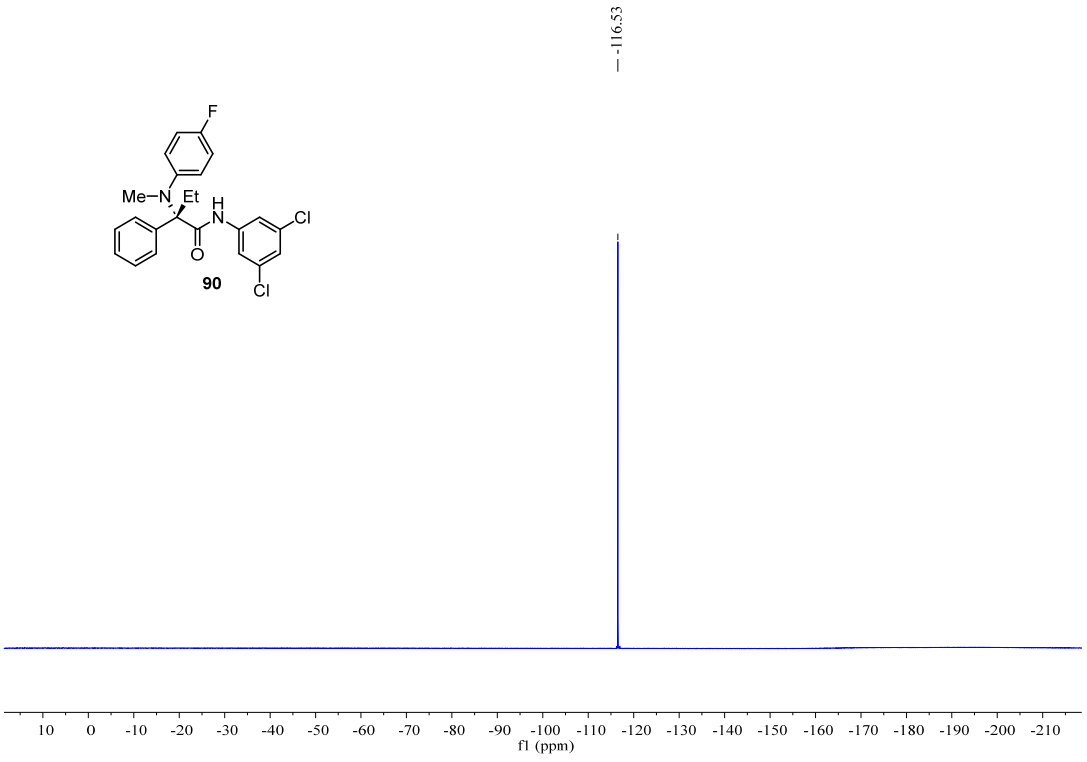

Me
N
Et
H
N
O
Cl
Cl
87
fl (ppm)

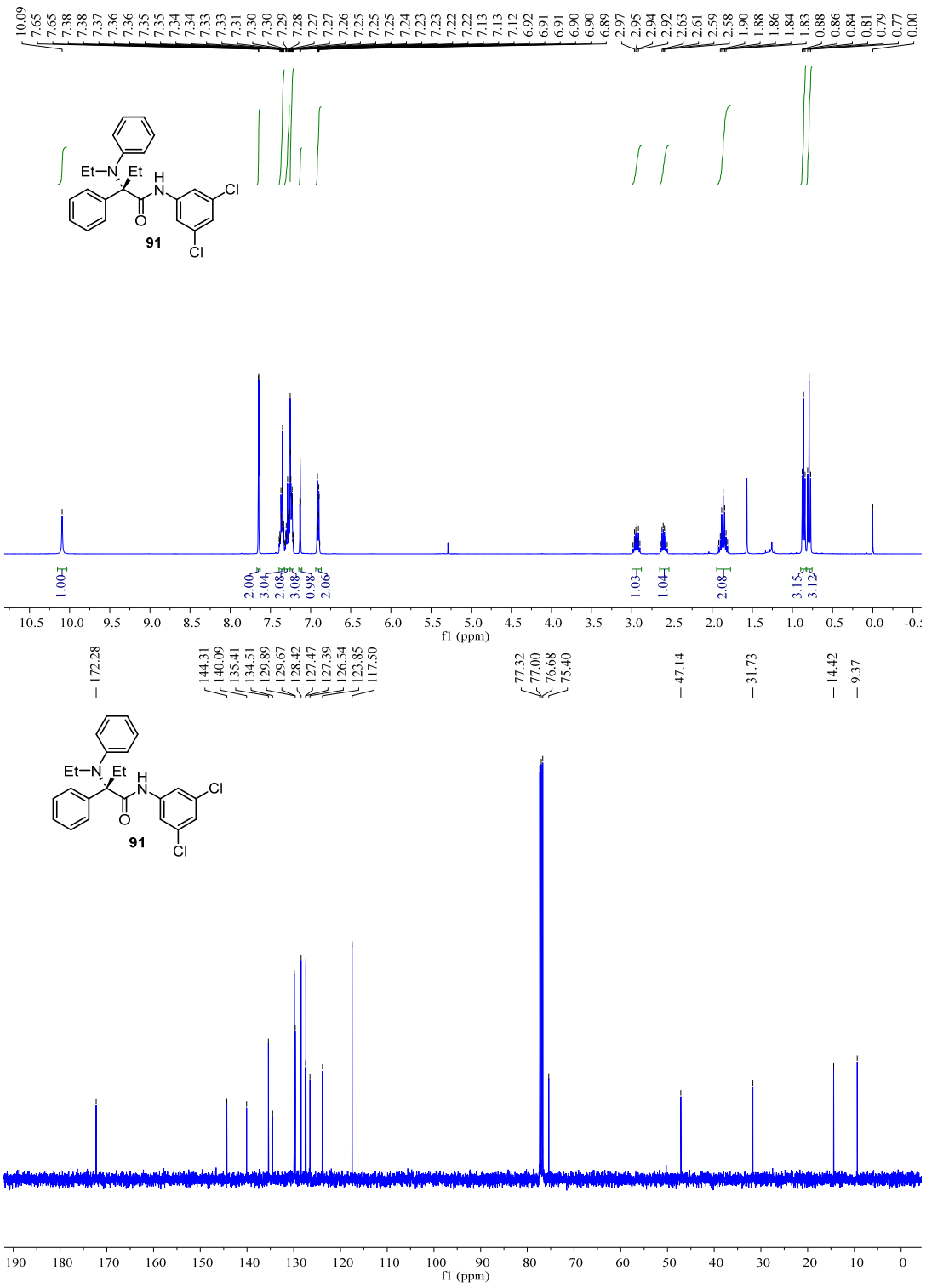

Me
N
Et
H
N
O
Cl
Cl
87
fl (ppm)


OMe
Me–N
Et
H
N
O
Cl
Cl
88
9.90 7.66 7.65 7.39 7.38 7.38 7.38 7.37 7.37 7.36 7.35 7.35 7.34 7.34 7.33 7.33 7.32 7.31 7.23 7.23 7.22 7.22 7.21 7.21 7.13 7.12 7.12 6.83 6.82 6.81 6.81 6.80 6.80 6.79 6.78 6.78 6.77 3.80 2.53 2.01 1.99 1.98 1.96 1.94 1.92 1.90 1.88 1.87 1.85 1.83 0.83 0.82 0.80 -0.00
1.00 1.99 3.04 2.00 0.98 4.13 3.05 3.07 2.09 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 -0.5
f1 (ppm)
172.01 157.48 140.32 140.01 135.30 133.78 129.93 128.76 127.47 127.36 123.87 117.69 113.50 77.32 77.00 76.68 74.93 55.36 40.98 31.97 9.51
OMe
Me–N
Et
H
N
O
Cl
Cl
88
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


Me
Me–N
Et
H
N
O
Cl
Cl
89
fl (ppm)
fl (ppm)


9.69 7.63 7.63 7.39 7.39 7.39 7.38 7.37 7.37 7.36 7.36 7.35 7.35 7.35 7.34 7.34 7.32 7.32 7.23 7.23 7.22 7.22 7.21 7.21 7.20 7.13 7.13 7.12 6.96 6.96 6.95 6.95 6.94 6.94 6.93 6.93 6.92 6.91 6.87 6.86 6.85 6.85 6.84 6.84 6.83 6.82 2.59 2.01 2.00 1.98 1.96 1.94 1.92 1.91 1.89 0.85 0.84 0.82 0.00
F
Me
N
Et
H
N
O
Cl
Cl
90
1.00 1.93 3.02 1.98 0.92 1.97 2.07 3.00 2.07 3.02
0.5 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 -0.5
f1 (ppm)
171.64 161.57 159.14 143.51 139.83 135.34 133.75 129.76 128.72 128.64 127.64 127.52 124.02 117.75 115.24 115.02 77.32 77.00 76.68 74.80 40.77 32.02 9.54
F
Me
N
Et
H
N
O
Cl
Cl
90
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


-116.53
F
Me
N
Et
H
N
Cl
O
Cl
90
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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7.38
7.38
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7.36
7.35
7.35
7.34
7.34
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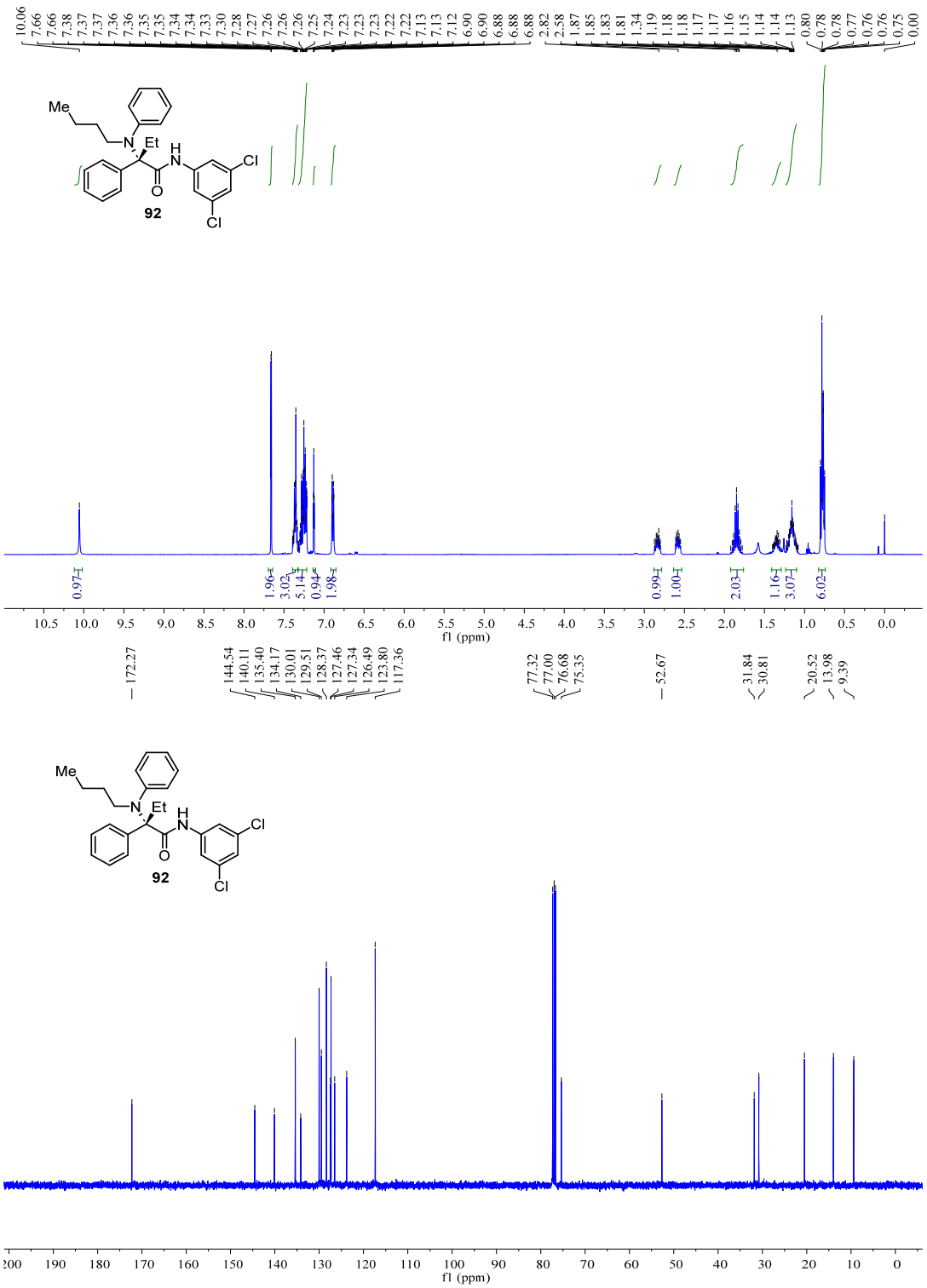
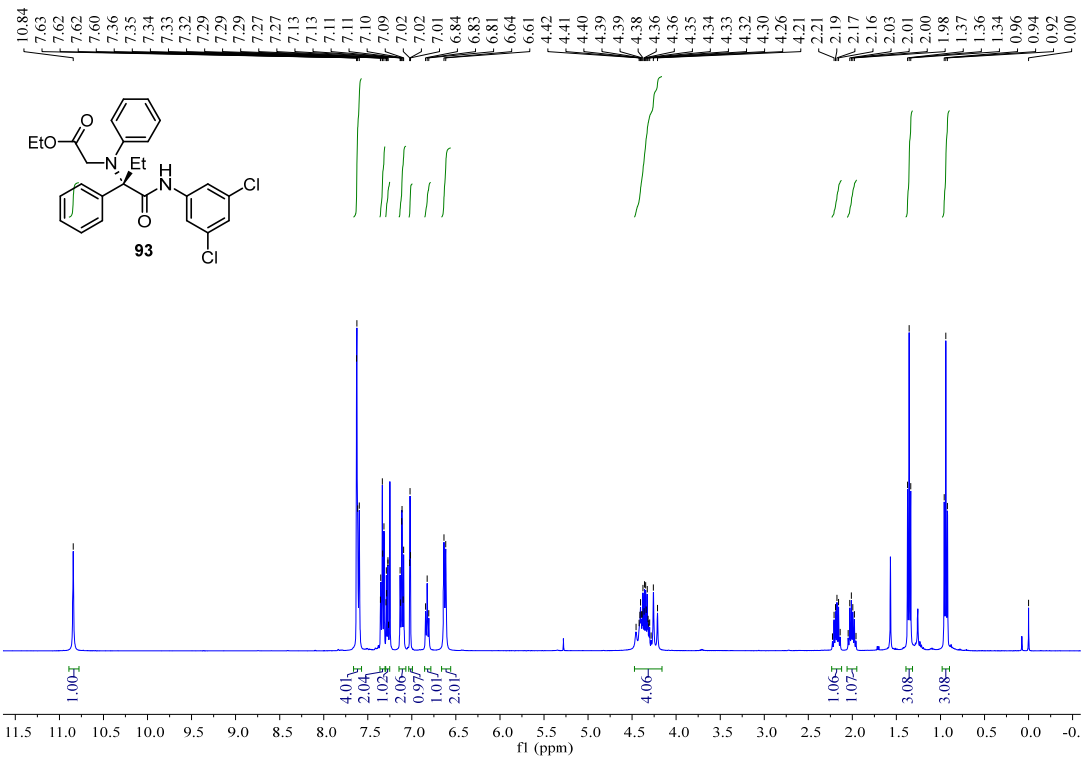
7.33
7.31
7.30
7.30
7.29
7.28
7.27
7.27
7.26
7.25
7.25
7.24
7.23
7.23
7.22
7.22
7.13
7.13
7.12
6.92
6.91
6.91
6.90
6.90
6.89
2.97
2.95
2.94
2.92
2.63
2.61
2.59
2.58
1.90
1.88
1.86
1.84
1.83
0.88
0.86
0.84
0.81
0.79
0.77
0.00
Et
N
Et
H
N
O
Cl
Cl
91
1.00
2.00
3.04
2.08
3.08
0.98
2.06
1.03
1.04
2.08
3.15
3.12
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
144.31
140.09
135.41
134.51
129.89
129.67
128.42
127.47
127.39
126.54
123.85
117.50
77.32
77.00
76.68
75.40
47.14
31.73
14.42
9.37
Et
N
Et
H
N
O
Cl
Cl
91
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


Me
N
Et
H
N
Cl
O
92
Cl
fl (ppm)
fl (ppm)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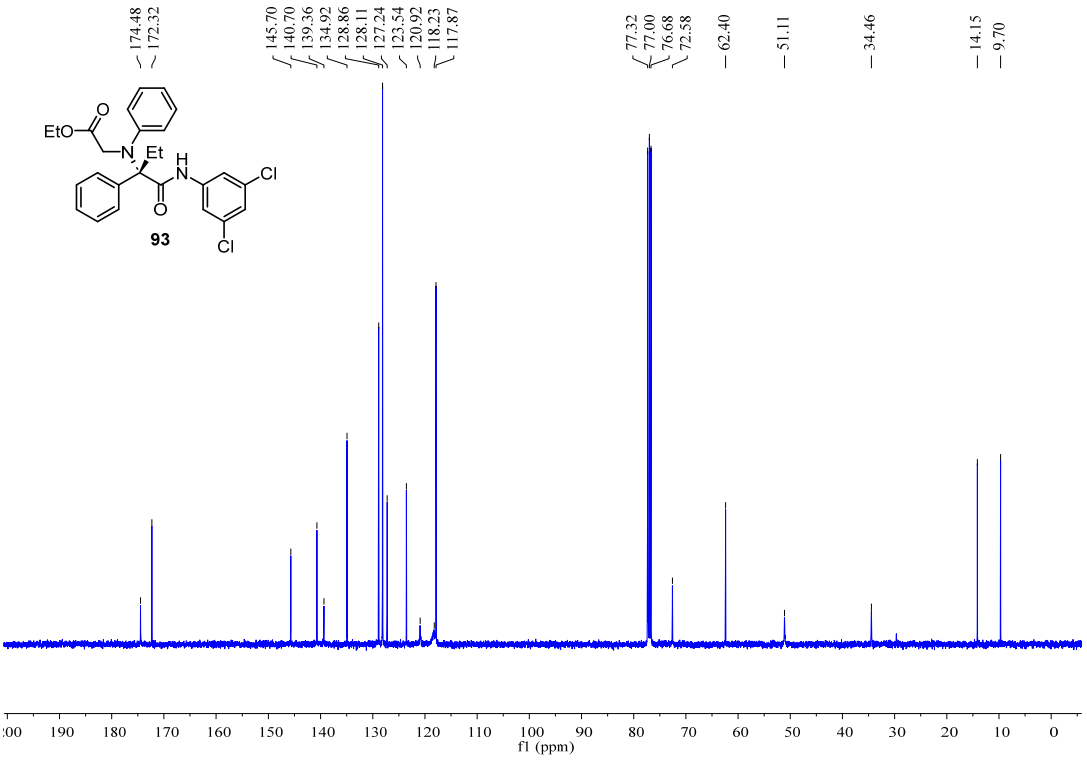
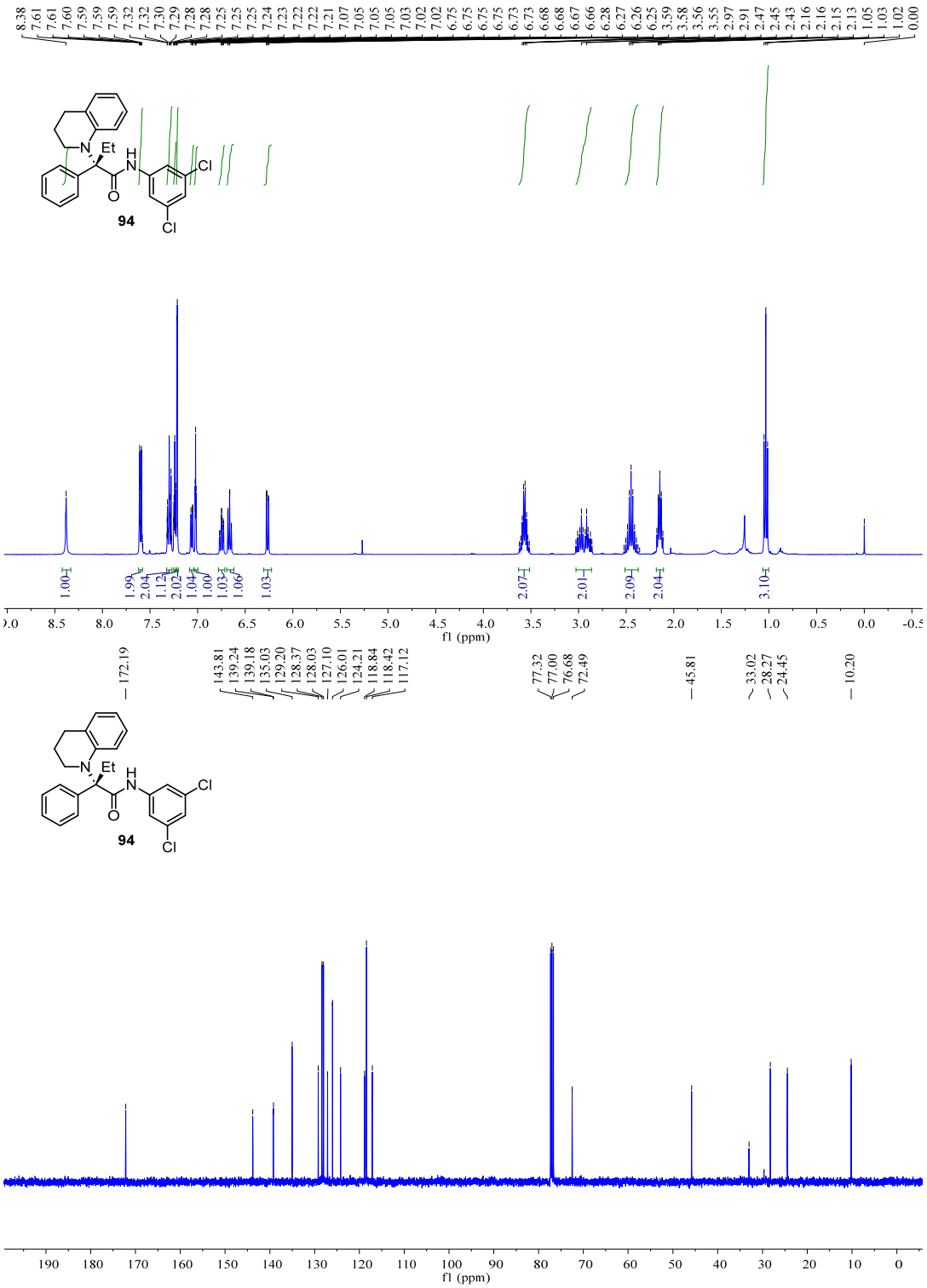
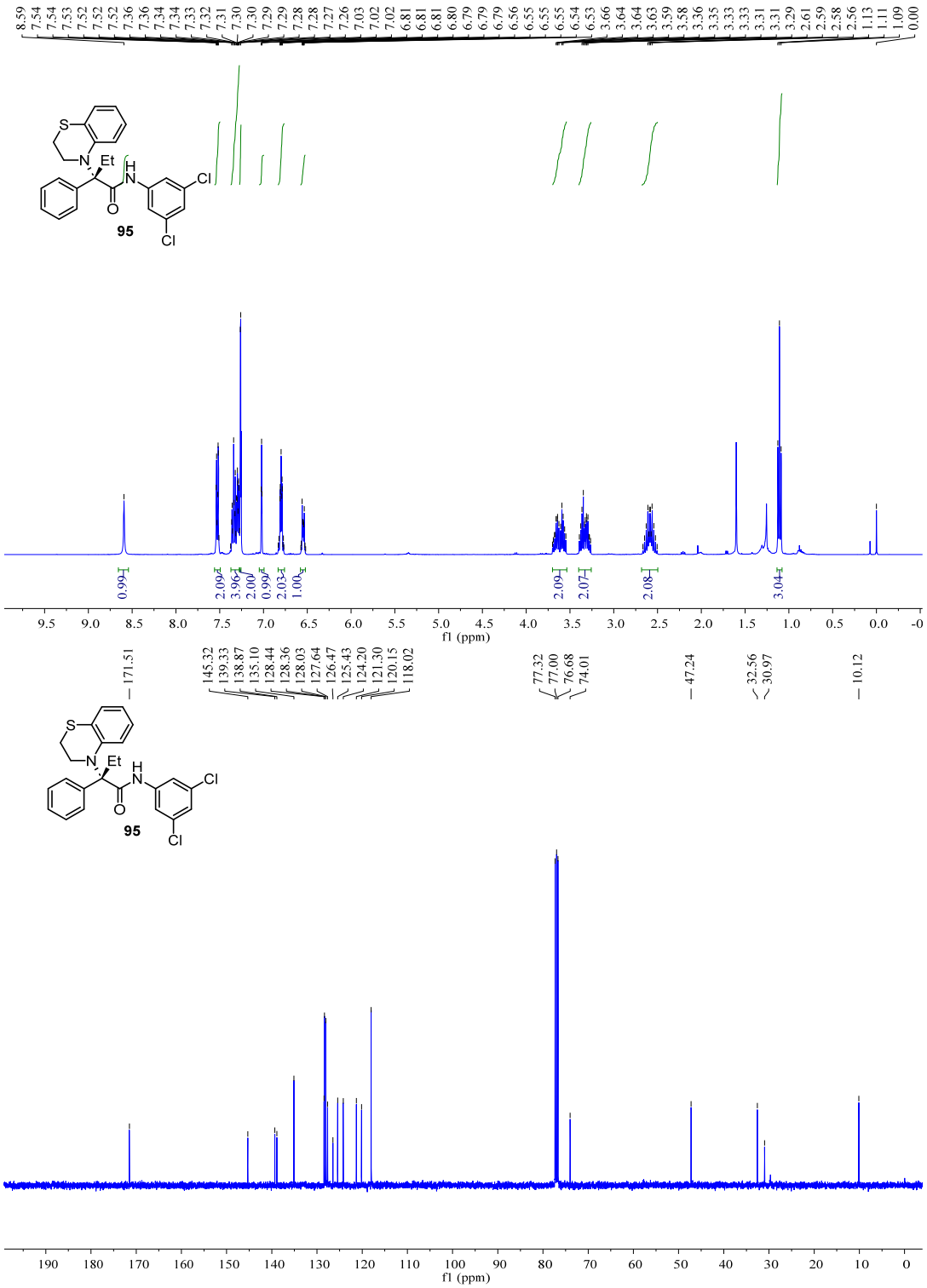
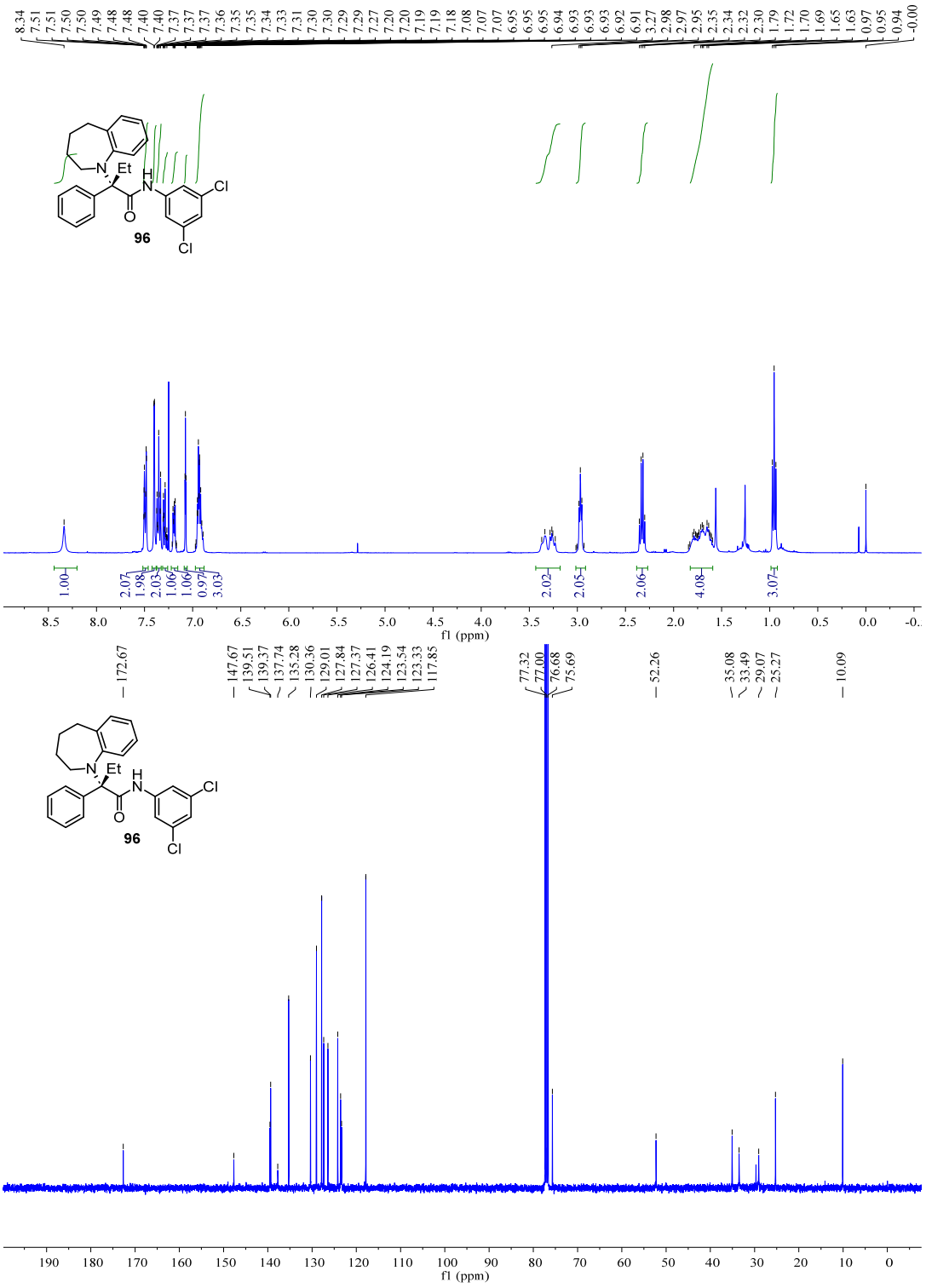
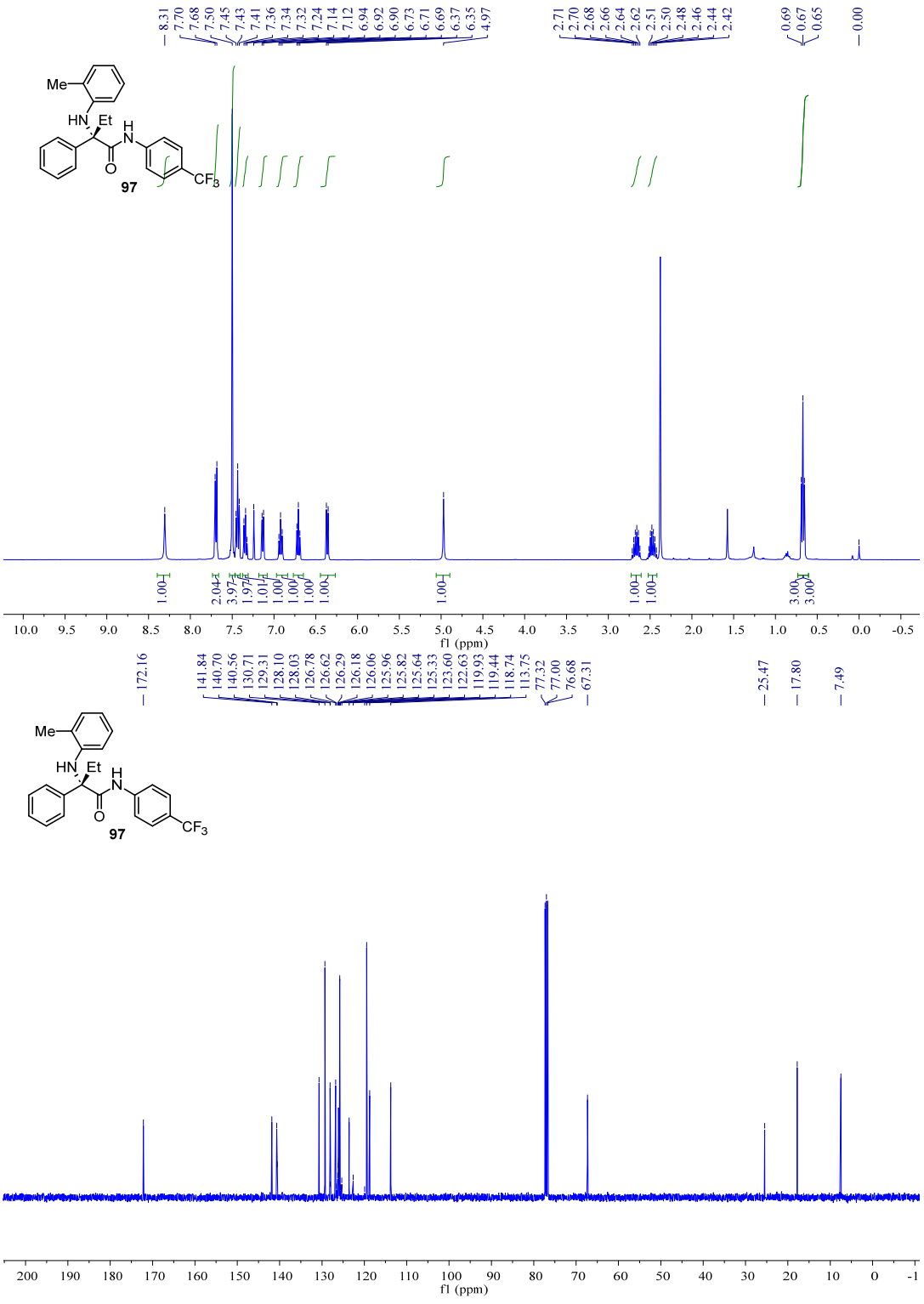
7.63
7.62
7.62
7.60
7.36
7.35
7.34
7.33
7.32
7.29
7.29
7.29
7.27
7.27
7.13
7.11
7.11
7.10
7.09
7.02
7.02
7.01
6.84
6.83
6.81
6.64
6.61
4.42
4.41
4.40
4.39
4.39
4.38
4.36
4.36
4.35
4.34
4.33
4.32
4.30
4.26
4.21
2.21
2.19
2.17
2.16
2.03
2.01
2.00
1.98
1.37
1.36
1.34
0.96
0.94
0.92
0.00
EtO
O
N
Et
H
N
Cl
O
Cl
93
1.00
4.01
2.04
1.02
2.06
0.97
1.01
2.01
4.06
1.06
1.07
3.08
3.08
11.5 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 -0.
f1 (ppm)

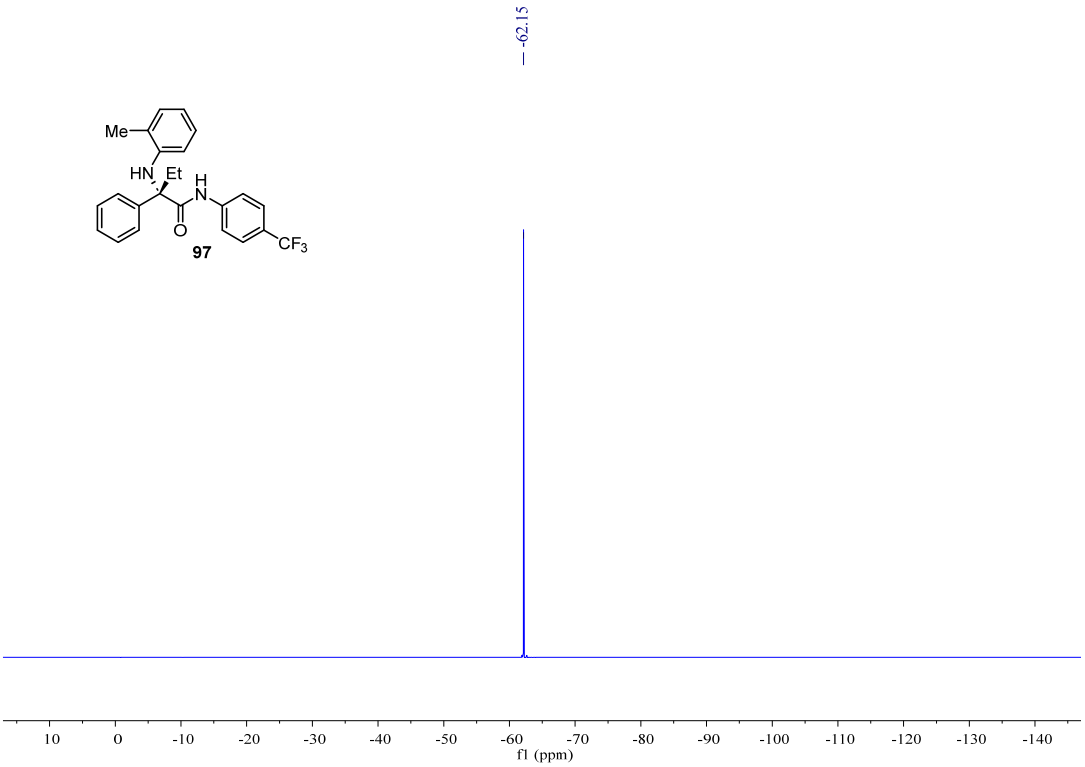

174.48
172.32
145.70
140.70
139.36
134.92
128.86
128.11
127.24
123.54
120.92
118.23
117.87
77.32
77.00
76.68
72.58
62.40
51.11
34.46
14.15
9.70
EtO
O
N
Et
H
N
Cl
O
Cl
93
:00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

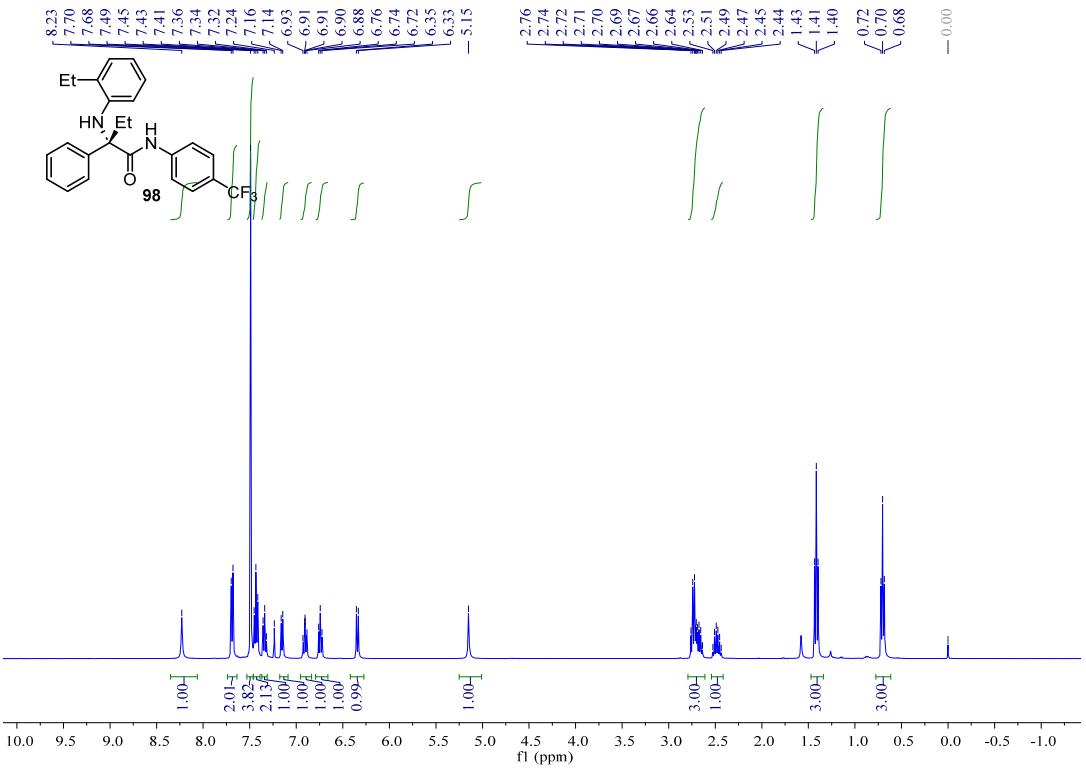

8.38 7.61 7.61 7.60 7.59 7.59 7.59 7.32 7.32 7.30 7.29 7.28 7.28 7.25 7.25 7.25 7.24 7.23 7.22 7.22 7.21 7.07 7.05 7.05 7.05 7.03 7.02 7.02 6.75 6.75 6.75 6.73 6.73 6.68 6.68 6.67 6.66 6.28 6.27 6.26 6.25 3.59 3.58 3.56 3.55 2.97 2.91 2.47 2.45 2.43 2.16 2.16 2.15 2.13 1.05 1.03 1.02 0.00
Et
H
N
O
Cl
Cl
94
1.00 1.99 2.04 1.12 2.02 1.04 1.00 1.03 1.06 1.03 2.07 2.01 2.09 2.04 3.10
f1 (ppm)
172.19
143.81 139.24 139.18 135.03 129.20 128.37 128.03 127.10 126.01 124.21 118.84 118.42 117.12
77.32 77.00 76.68 72.49
45.81
33.02 28.27 24.45
10.20
f1 (ppm)

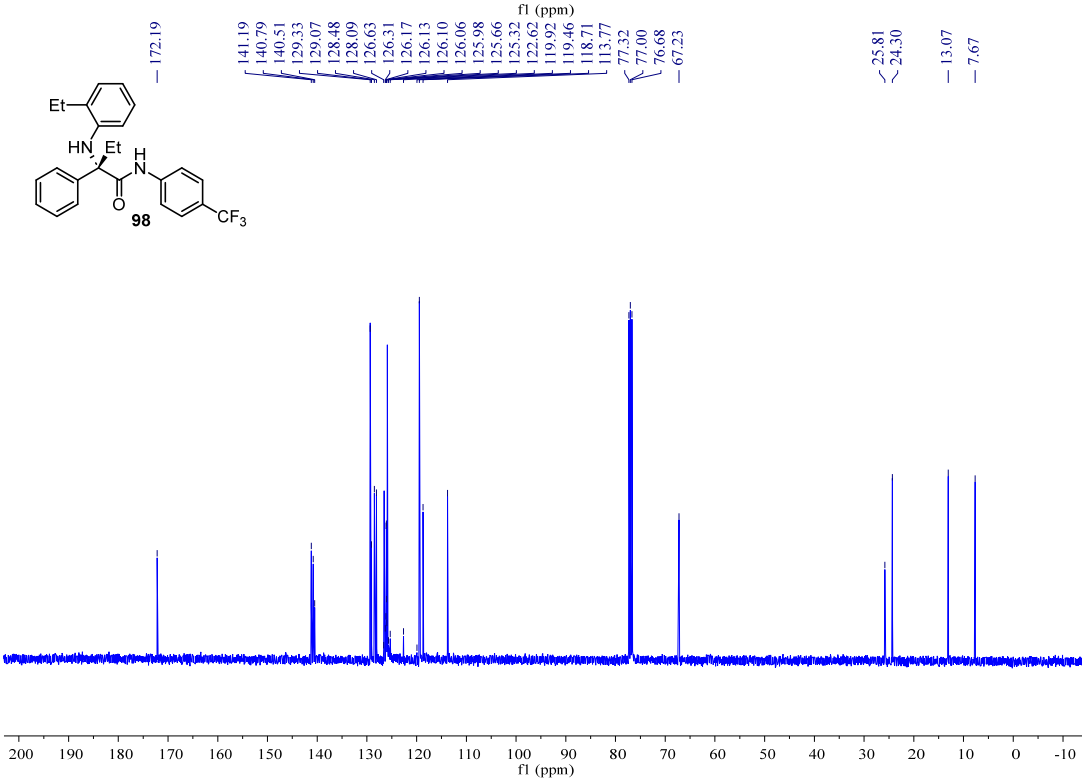

95
95
fl (ppm)
fl (ppm)

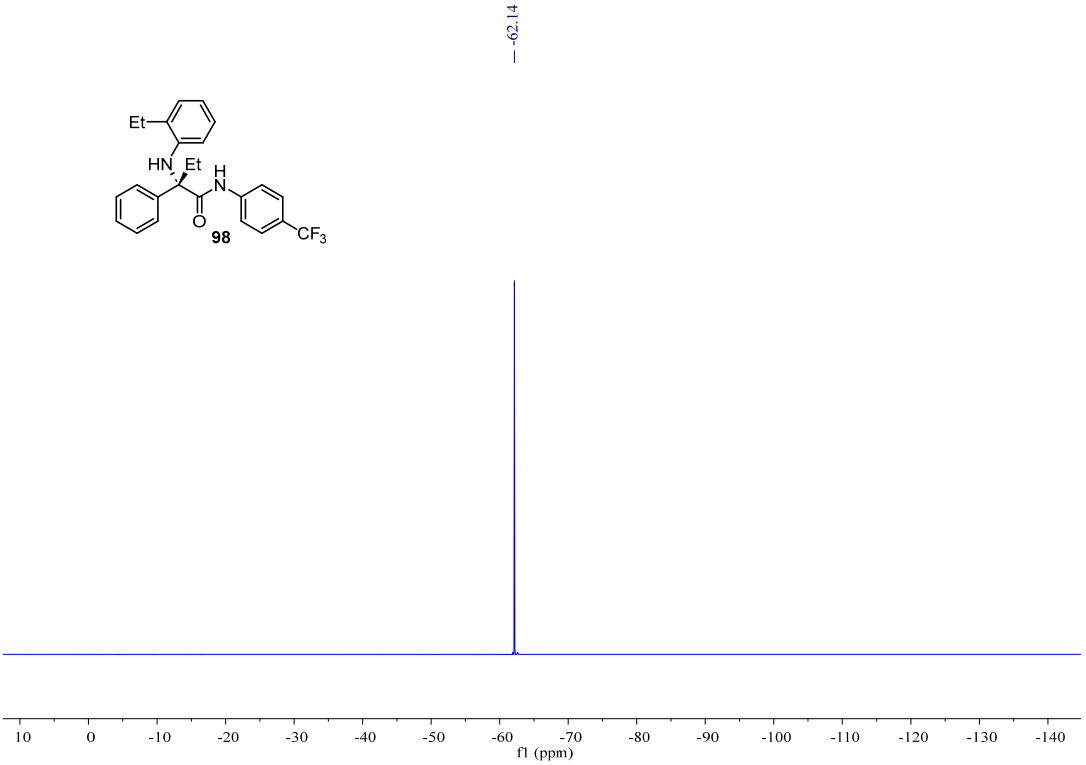

8.34 7.51 7.51 7.50 7.50 7.49 7.48 7.48 7.40 7.40 7.37 7.37 7.37 7.36 7.35 7.35 7.34 7.33 7.31 7.30 7.30 7.29 7.29 7.27 7.20 7.20 7.19 7.19 7.18 7.08 7.07 7.07 6.95 6.95 6.95 6.94 6.93 6.93 6.93 6.92 6.91 3.27 2.98 2.97 2.95 2.35 2.34 2.32 2.30 1.79 1.72 1.70 1.69 1.65 1.63 0.97 0.95 0.94 -0.00
N Et H N Cl O Cl
96
1.00 2.07 1.98 2.03 1.06 1.06 0.97 3.03 2.02 2.05 2.06 4.08 3.07
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)
172.67 147.67 139.51 139.37 137.74 135.28 130.36 129.01 127.84 127.37 126.41 124.19 123.54 123.33 117.85 77.32 77.00 76.68 75.69 52.26 35.08 33.49 29.07 25.27 10.09
N Et H N Cl O Cl
96
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

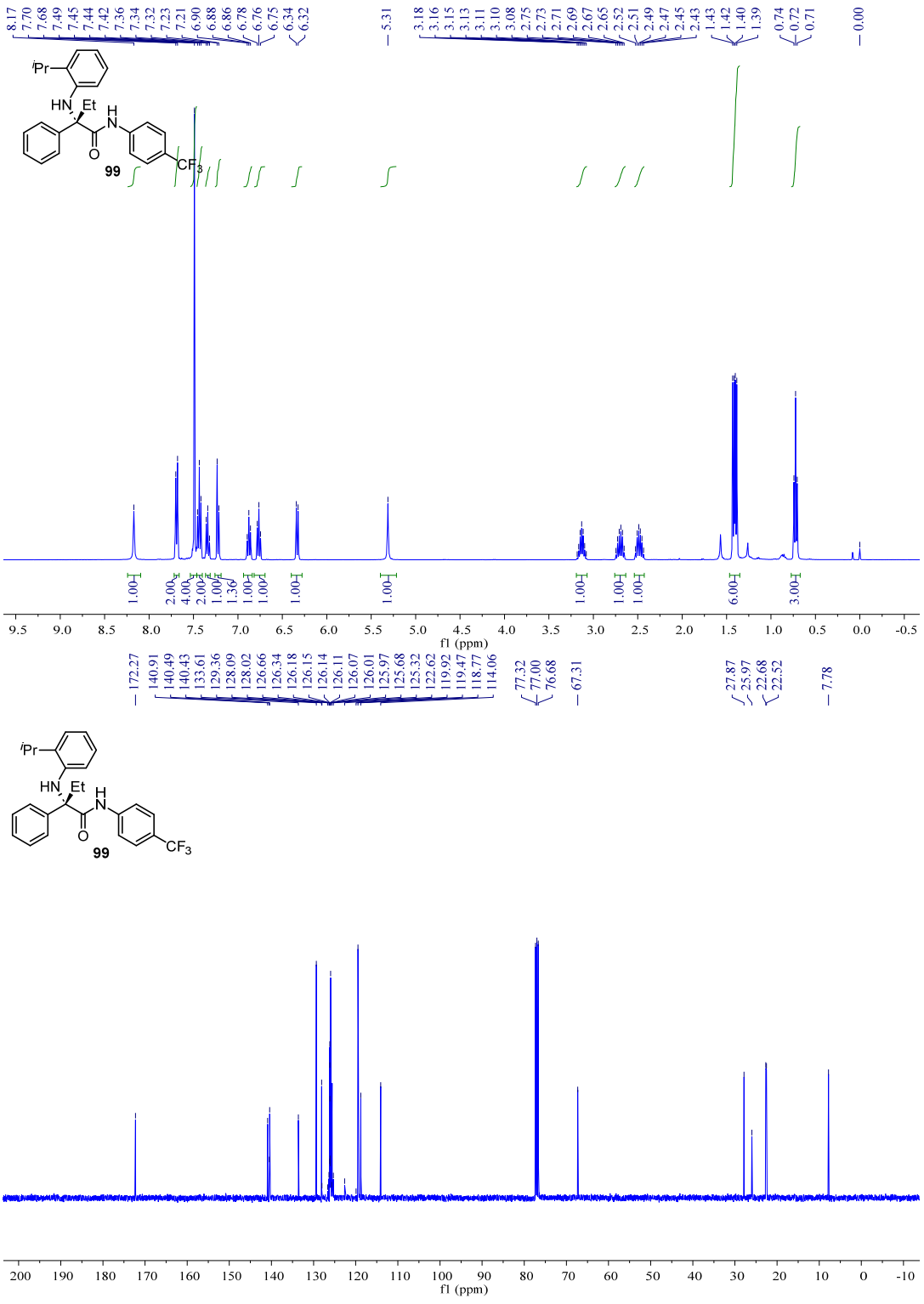

8.31
7.70
7.68
7.50
7.45
7.43
7.41
7.36
7.34
7.32
7.24
7.14
7.12
6.94
6.92
6.90
6.73
6.71
6.69
6.37
6.35
4.97
2.71
2.70
2.68
2.66
2.64
2.62
2.51
2.50
2.48
2.46
2.44
2.42
0.69
0.67
0.65
0.00
Me
HN
Et
H
N
O
CF3
97
1.00
2.04
3.97
1.97
1.01
1.00
1.00
1.00
1.00
1.00
1.00
3.00
3.00
10.0 9.5 9.0 8.5 8.0 7.5 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 -0.5
f1 (ppm)
172.16
141.84
140.70
140.56
130.71
129.31
128.10
128.03
126.78
126.62
126.29
126.18
126.06
125.96
125.82
125.64
125.33
123.60
122.63
119.93
119.44
118.74
113.75
77.32
77.00
76.68
67.31
25.47
17.80
7.49
Me
HN
Et
H
N
O
CF3
97
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-62.15
Me
HN
Et
H
N
O
CF3
97
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)


Et
HN
Et
H
N
O
98
CF3
fl (ppm)

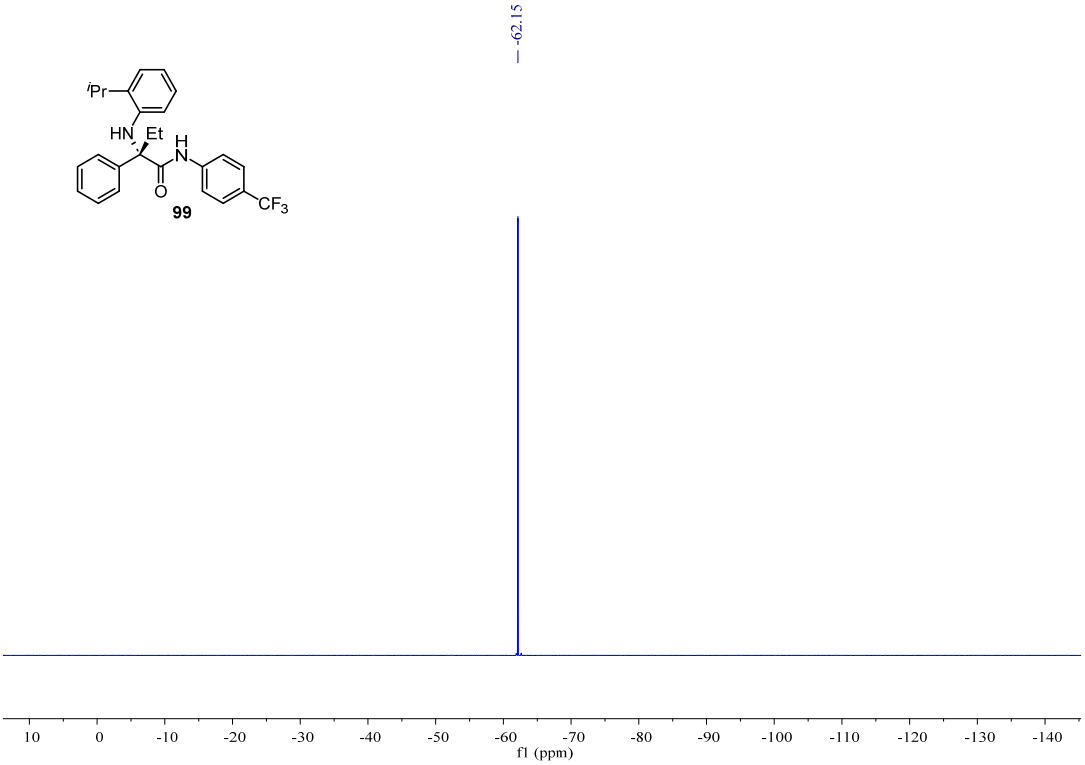

Et
HN
Et
H
N
O
98
CF3
fl (ppm)

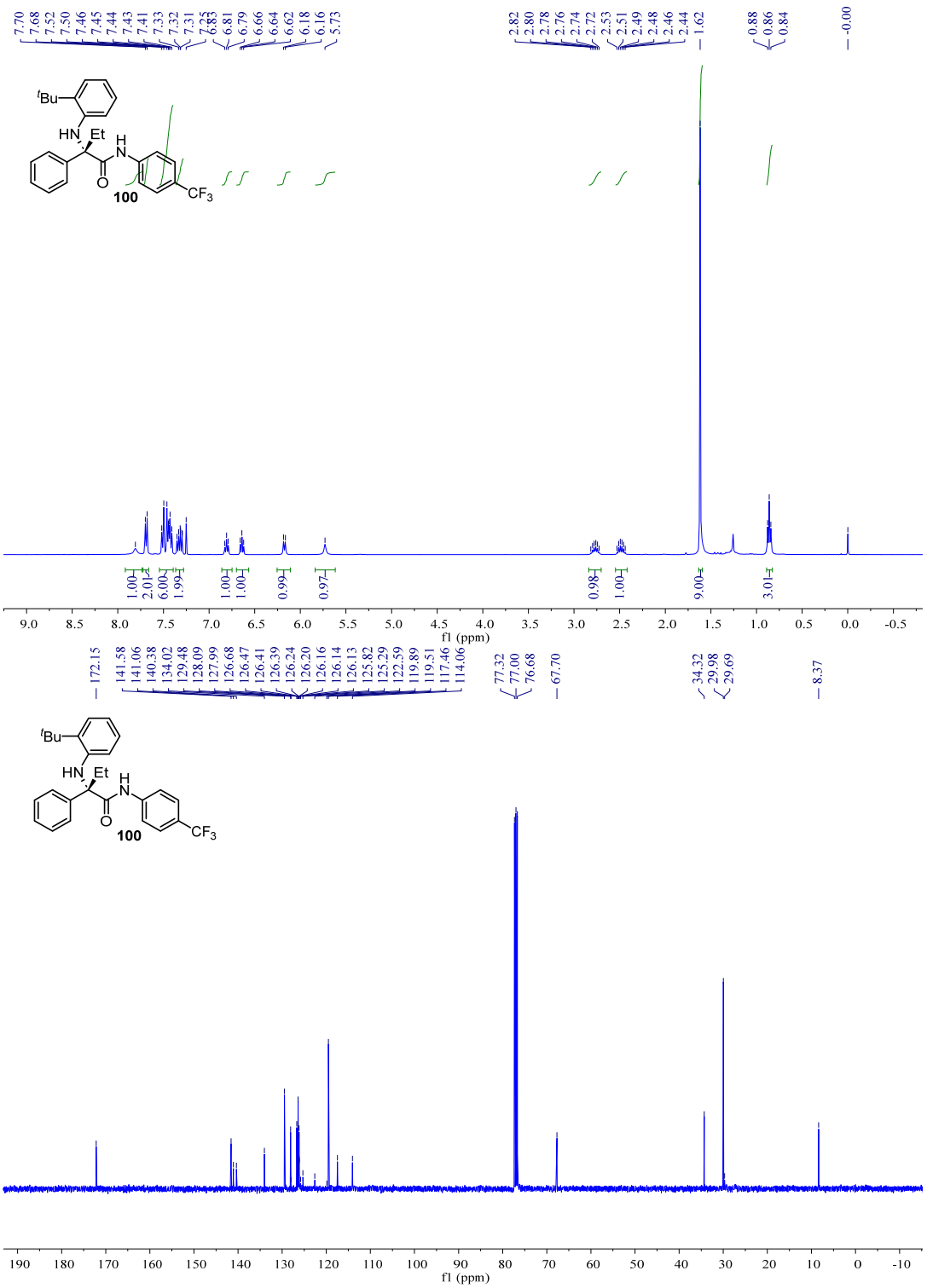

-62.14
Et
HN
Et
H
N
O
98
CF3
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)


99
fl (ppm)
99
fl (ppm)

iPr
HN
Et
H
N
O
CF3

99


-62.15
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)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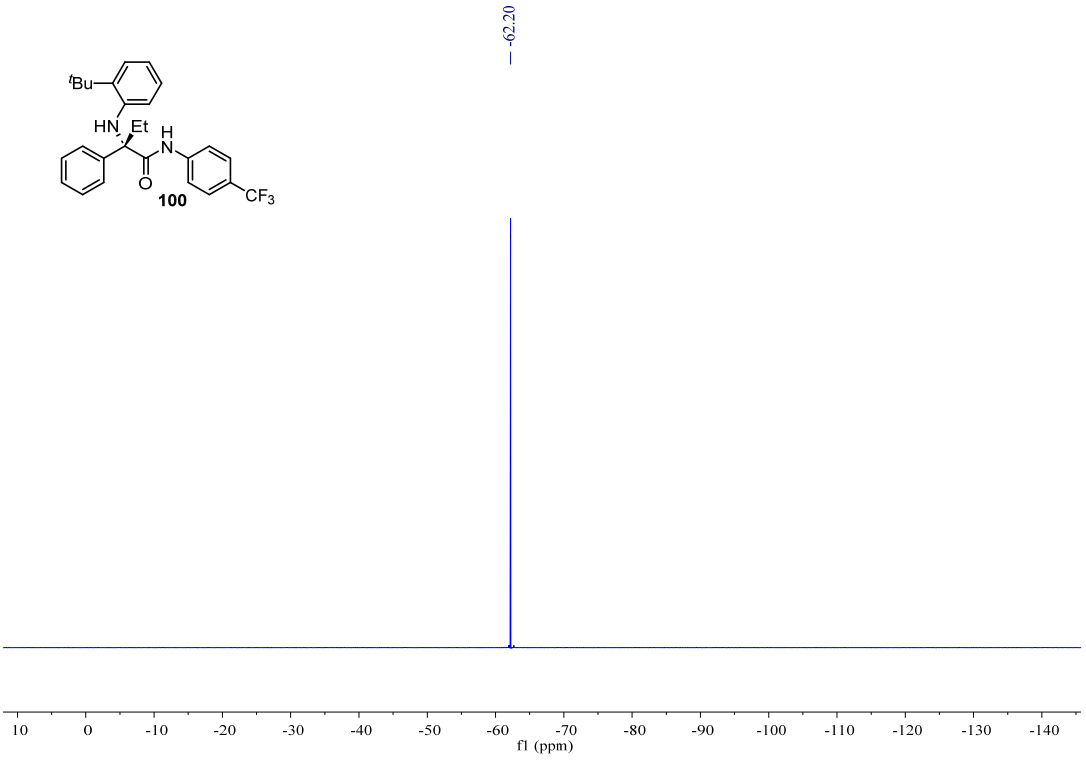
7.50
7.46
7.45
7.44
7.43
7.41
7.33
7.32
7.31
6.81
6.79
6.66
6.64
6.62
6.18
6.16
5.73
2.82
2.80
2.78
2.76
2.74
2.72
2.53
2.51
2.49
2.48
2.46
2.44
1.62
0.88
0.86
0.84
-0.00
tBu
HN
Et
H
N
O
CF3
100
1.00
2.01
6.00
1.99
1.00
1.00
0.99
0.97
0.98
1.00
9.00
3.01
f1 (ppm)
172.15
141.58
141.06
140.38
134.02
129.48
128.09
127.99
126.68
126.47
126.41
126.39
126.24
126.20
126.16
126.14
126.13
125.82
125.29
122.59
119.89
119.51
117.46
114.06
77.32
77.00
76.68
67.70
34.32
29.98
29.69
8.37
f1 (ppm)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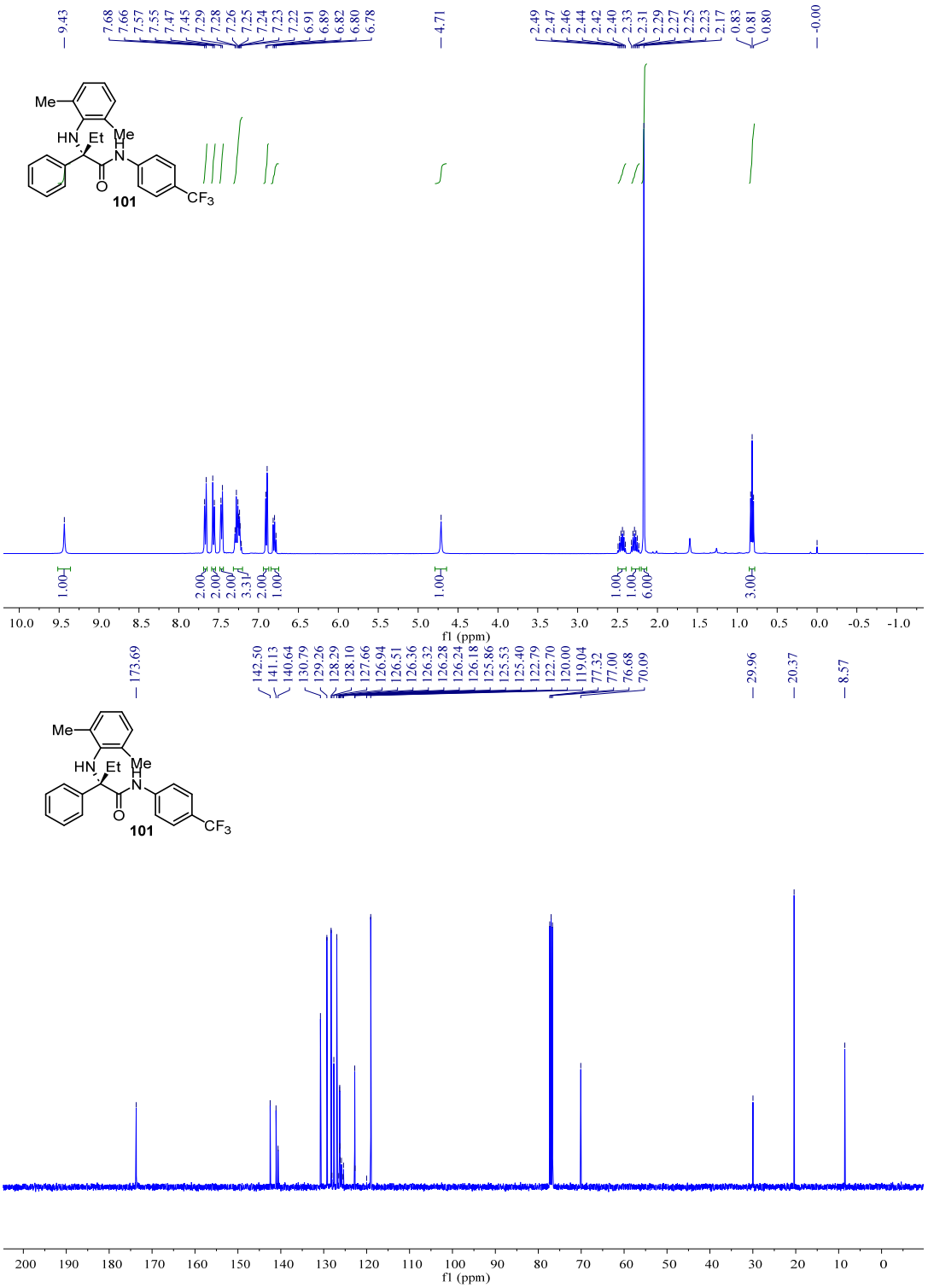
tBu
HN
Et
H
N
O
CF3
100
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)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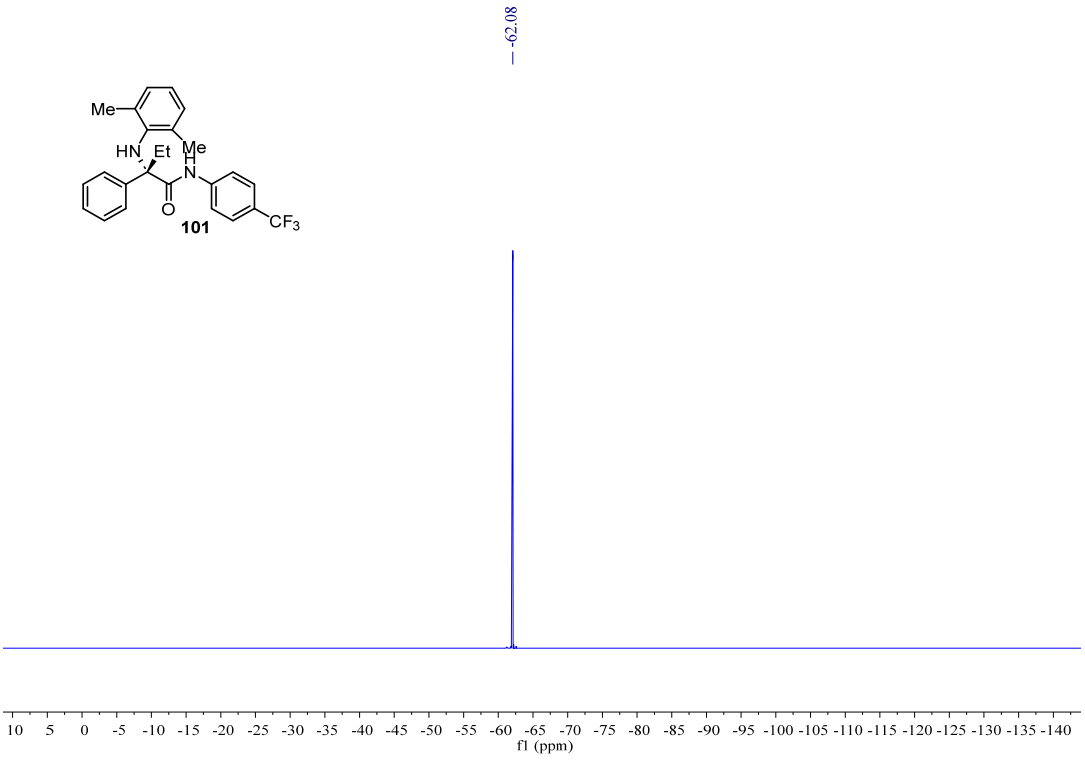
7.66
7.57
7.55
7.47
7.45
7.29
7.28
7.26
7.25
7.24
7.23
7.22
6.91
6.89
6.82
6.80
6.78
4.71
2.49
2.47
2.46
2.44
2.42
2.40
2.33
2.31
2.29
2.27
2.25
2.23
2.17
0.83
0.81
0.80
-0.00
Me
HN
Et
Me
N
H
O
CF3
101
1.00
2.00
2.00
2.00
3.31
2.00
1.00
1.00
1.00
1.00
6.00
3.00
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)
173.69
142.50
141.13
140.64
130.79
129.26
128.29
128.10
127.66
126.94
126.51
126.36
126.32
126.28
126.24
126.18
125.86
125.53
125.40
122.79
122.70
120.00
119.04
77.32
77.00
76.68
70.09
29.96
20.37
8.57
Me
HN
Et
Me
N
H
O
CF3
101
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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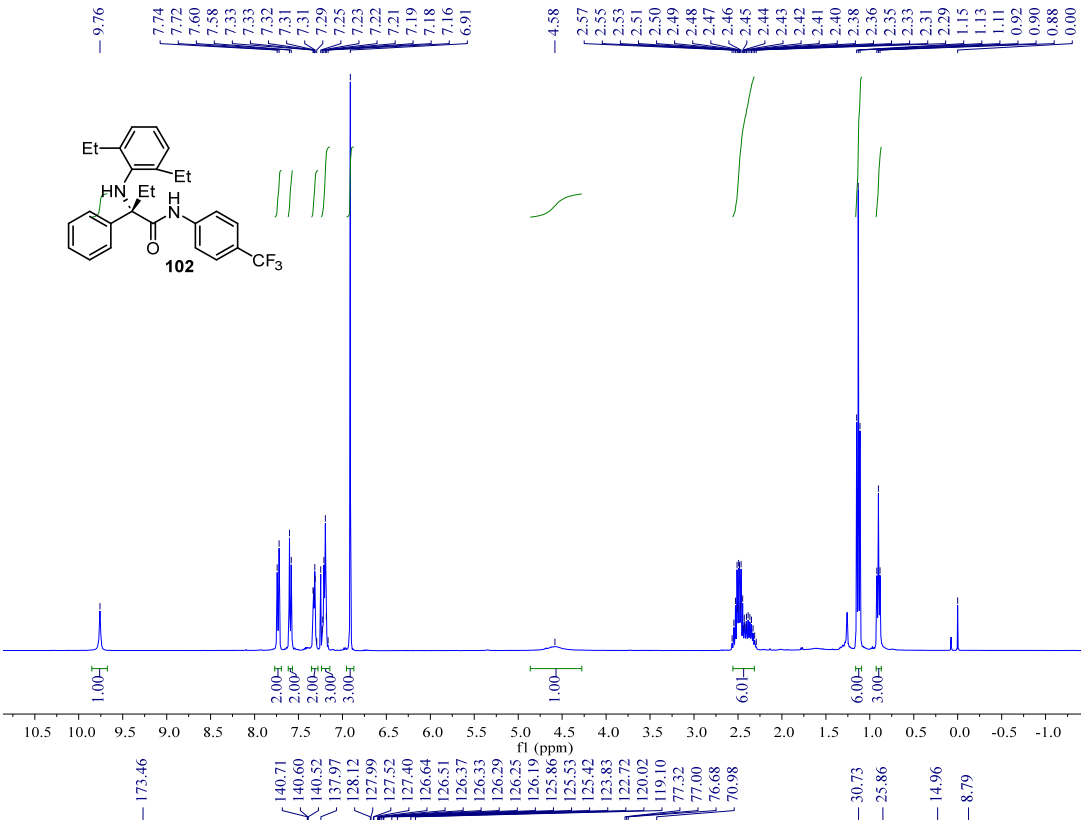
Me
HN
Et
Me
H
N
O
CF3
101
10 5 0 -5 -10 -15 -20 -25 -30 -35 -40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135 -140
f1 (ppm)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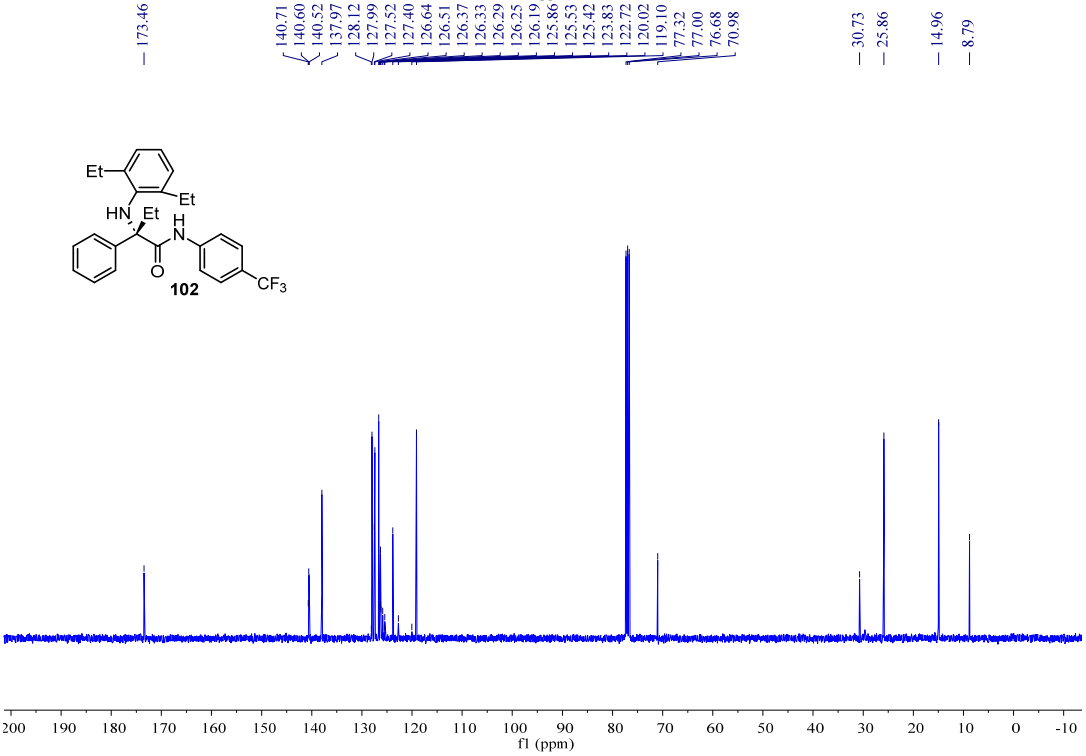
7.33
7.33
7.32
7.31
7.31
7.29
7.25
7.23
7.22
7.21
7.19
7.18
7.16
6.91
4.58
2.57
2.55
2.53
2.51
2.50
2.49
2.48
2.47
2.46
2.45
2.44
2.43
2.42
2.41
2.40
2.38
2.36
2.35
2.33
2.31
2.29
1.15
1.13
1.11
0.92
0.90
0.88
0.00
Et
Et
HN
Et
H
N
O
CF3
102
1.00
2.00
2.00
3.00
3.00
1.00
6.01
6.00
3.00
10.5 10.0 9.5 9.0 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 -0.5 -1.0
f1 (ppm)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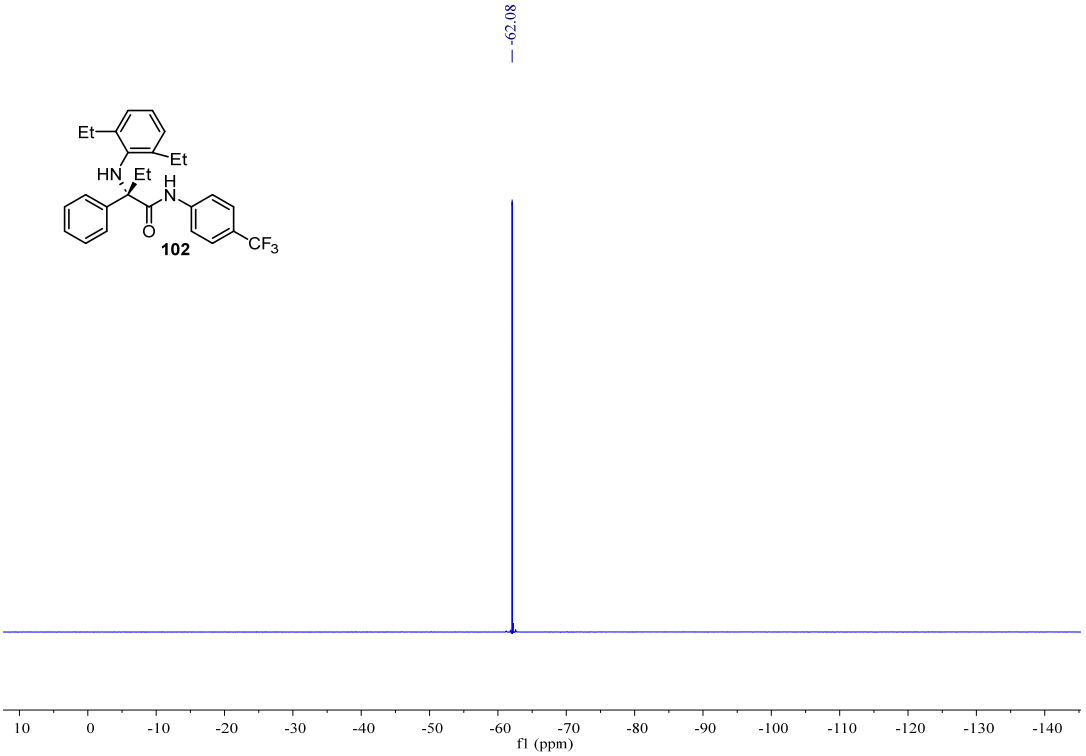
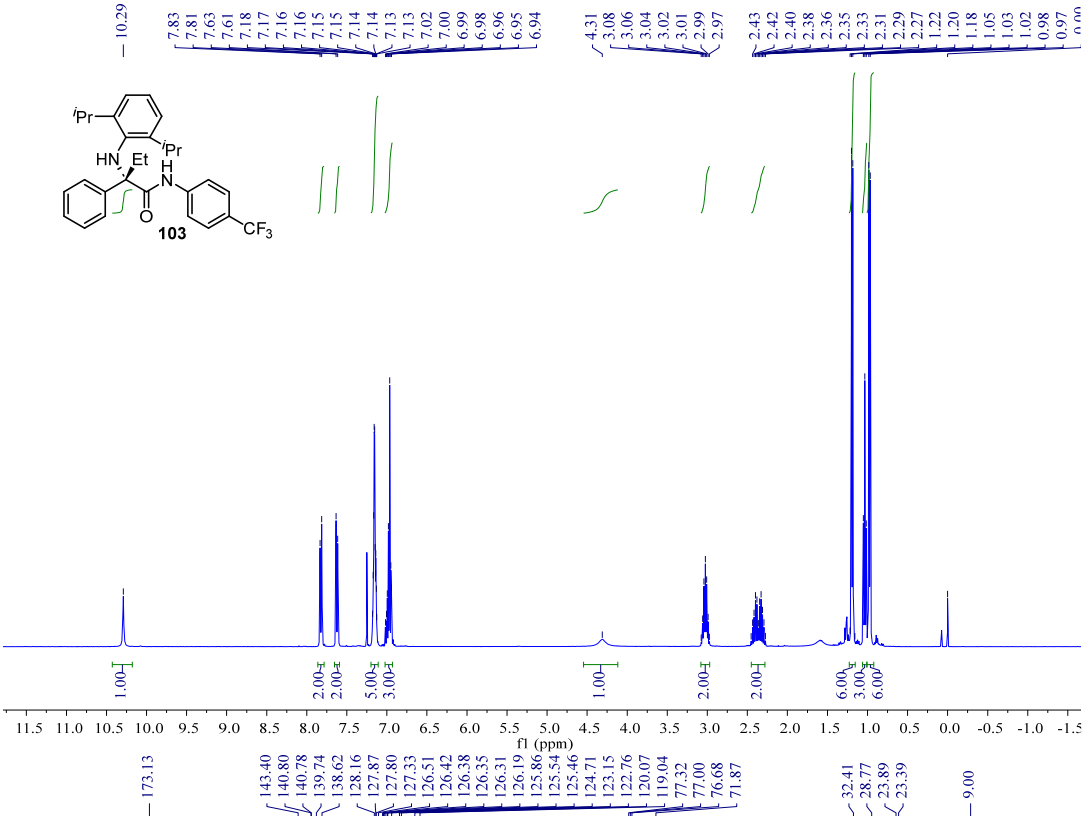
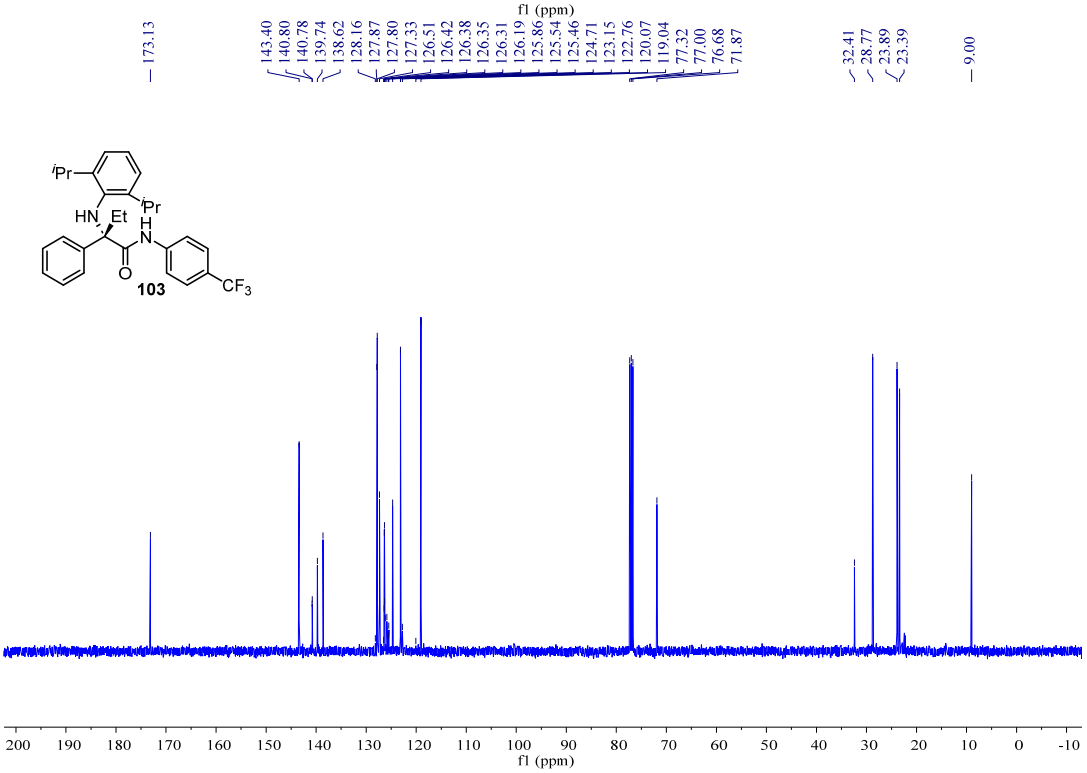
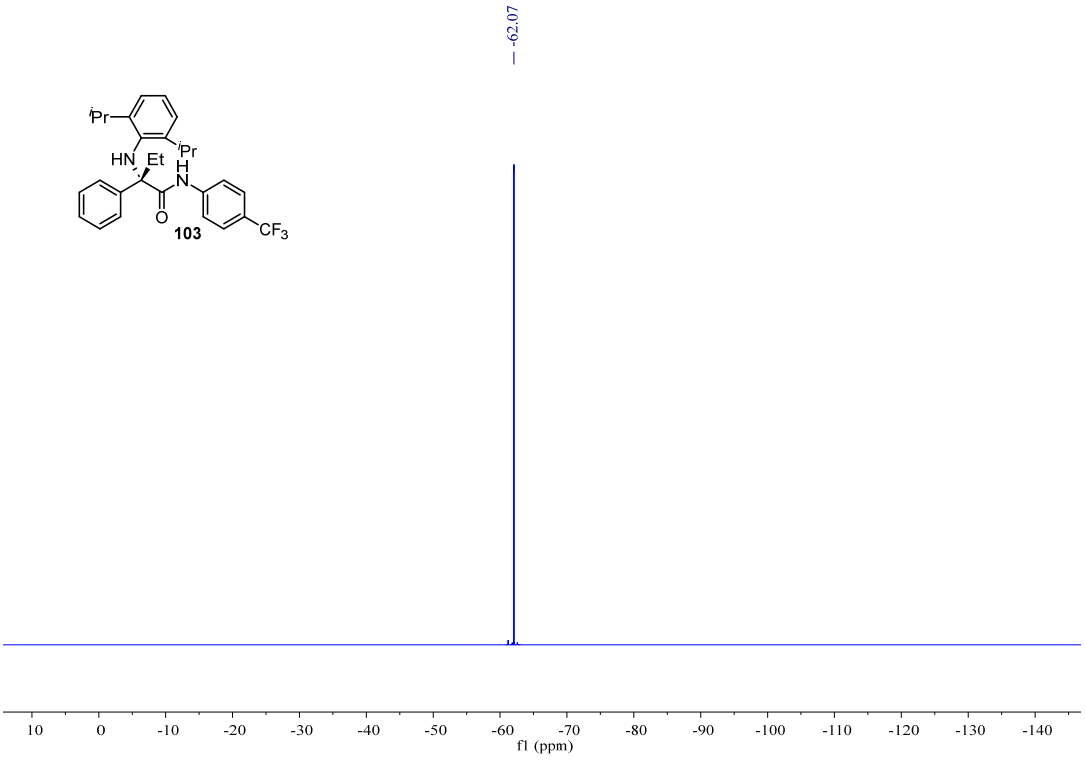
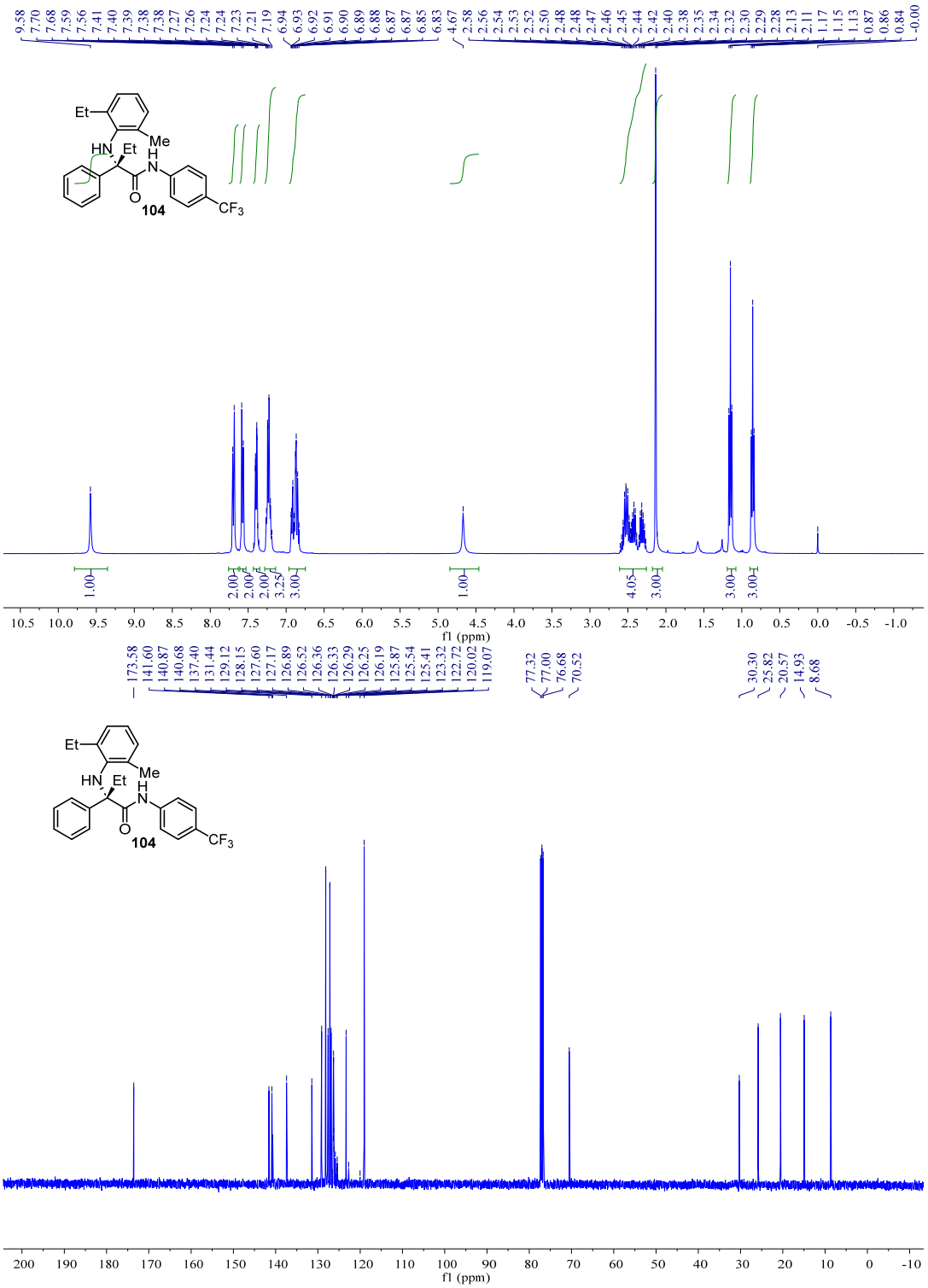
137.97
128.12
127.99
127.52
127.40
126.64
126.51
126.37
126.33
126.29
126.25
126.19
125.86
125.53
125.42
123.83
122.72
120.02
119.10
77.32
77.00
76.68
70.98
30.73
25.86
14.96
8.79
Et
Et
HN
Et
H
N
O
CF3
102
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

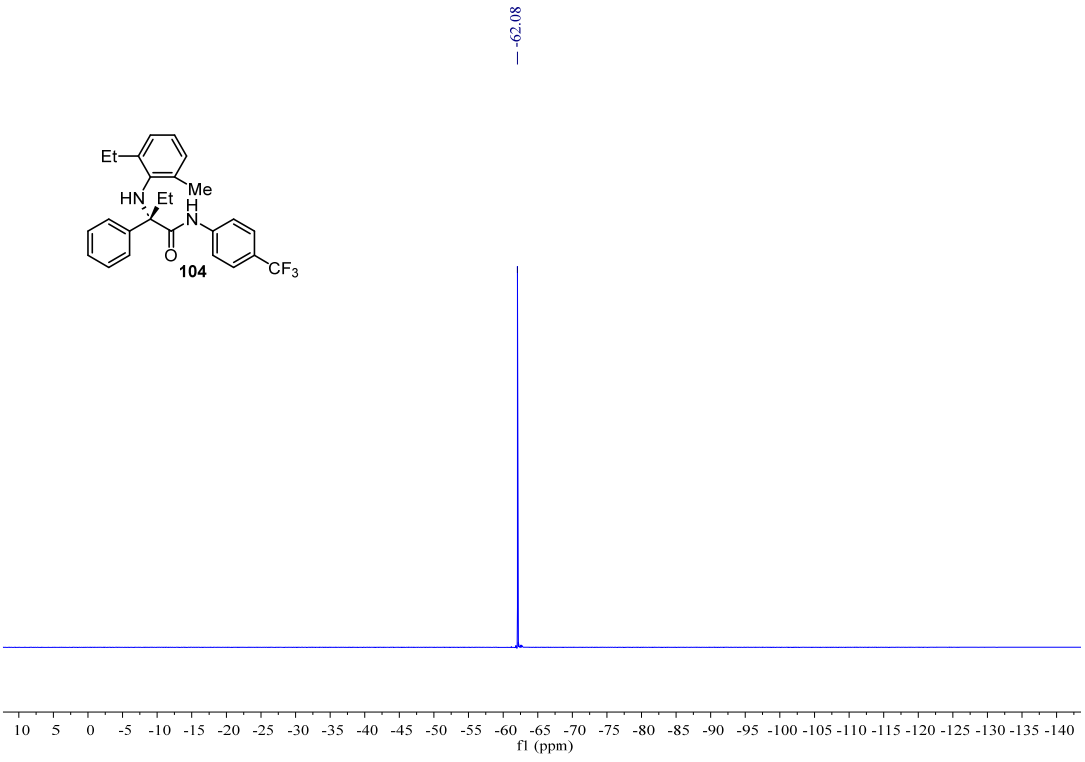

-62.08
Et
Et
HN
Et
H
N
O
CF3
102
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)

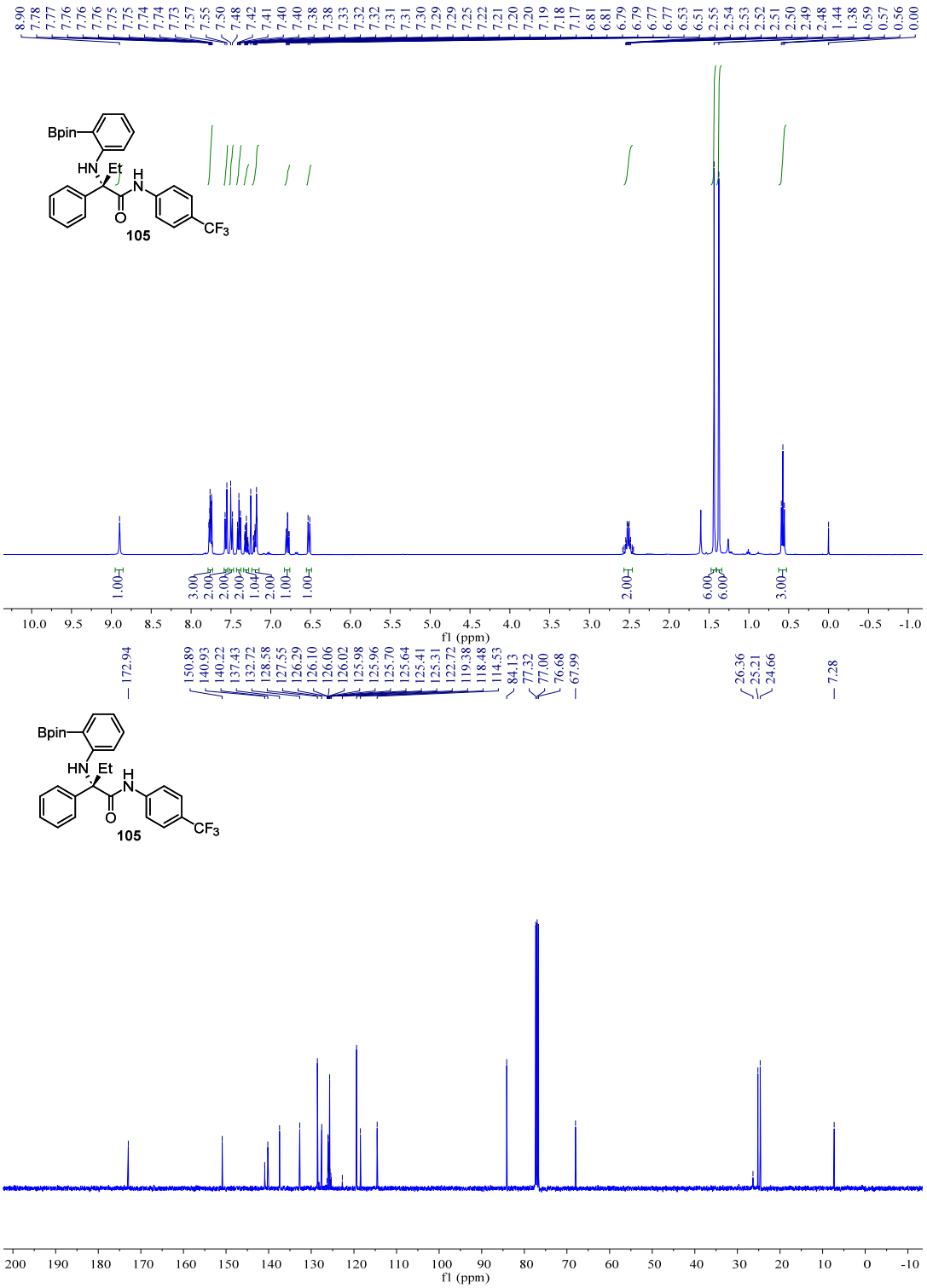

10.29
7.83
7.81
7.63
7.61
7.18
7.17
7.16
7.16
7.15
7.15
7.14
7.14
7.13
7.13
7.02
7.00
6.99
6.98
6.96
6.95
6.94
4.31
3.08
3.06
3.04
3.02
3.01
2.99
2.97
2.43
2.42
2.40
2.38
2.36
2.35
2.33
2.31
2.29
2.27
1.22
1.20
1.18
1.05
1.03
1.02
0.98
0.97
0.90
iPr
iPr
HN
Et
H
N
O
CF3
103
1.00
2.00
2.00
5.00
3.00
1.00
2.00
2.00
6.00
3.00
6.00
11.5 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 -0.5 -1.0 -1.5
f1 (ppm)

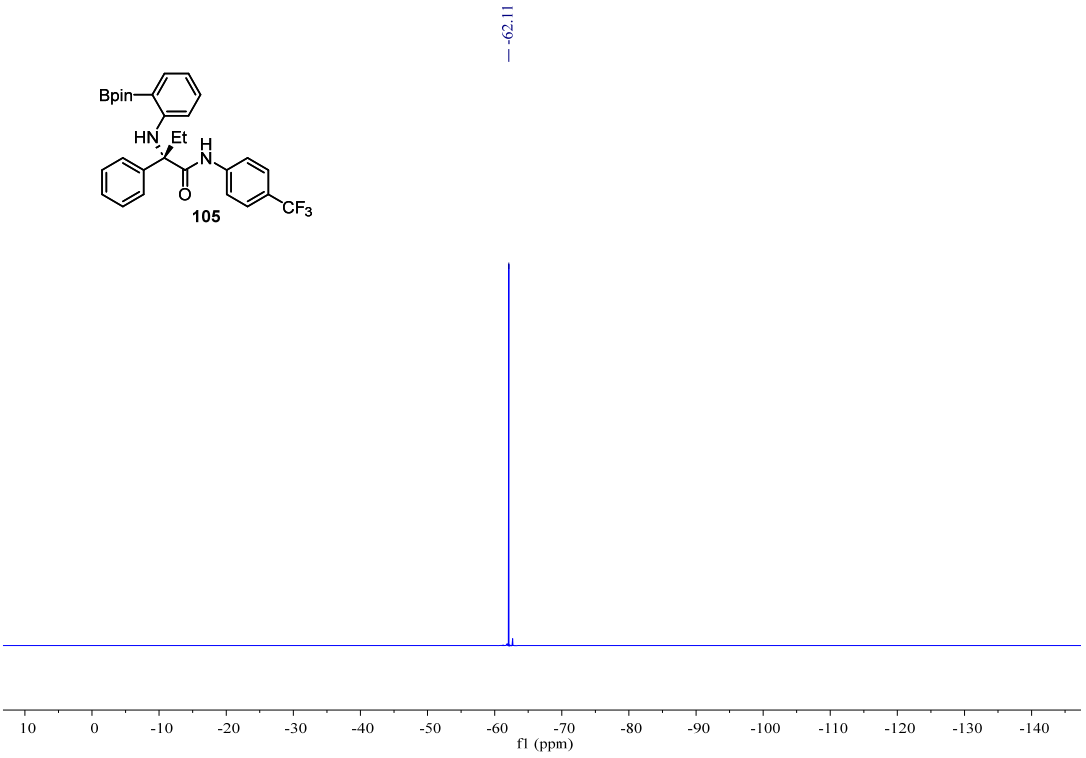

173.13
143.40
140.80
140.78
139.74
138.62
128.16
127.87
127.80
127.33
126.51
126.42
126.38
126.35
126.31
126.19
125.86
125.54
125.46
124.71
123.15
122.76
120.07
119.04
77.32
77.00
76.68
71.87
32.41
28.77
23.89
23.39
9.00
iPr
iPr
HN
Et
H
N
O
CF3
103
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

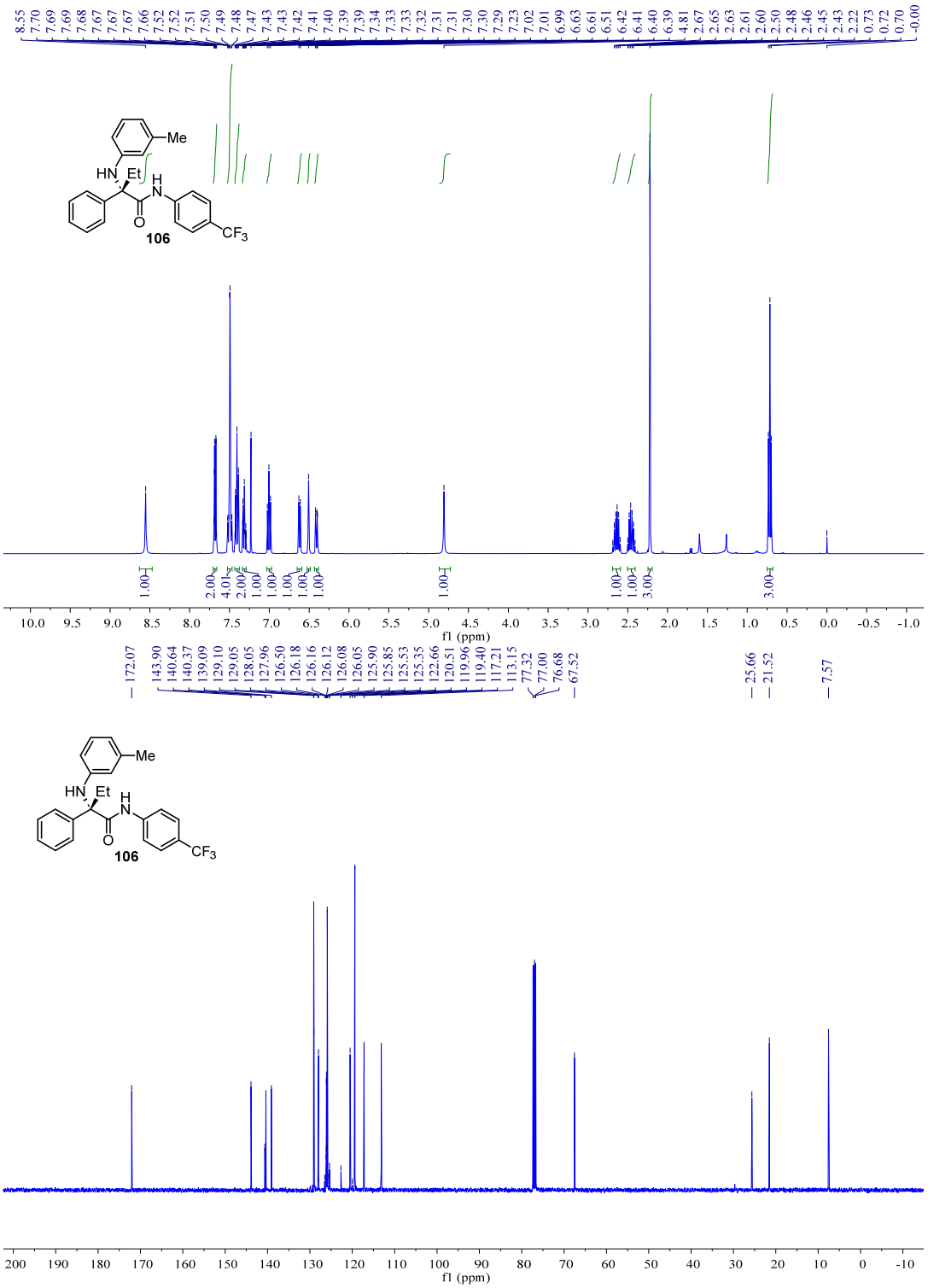

-62.07
iPr
iPr
HN
Et
H
N
O
CF3
103
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)

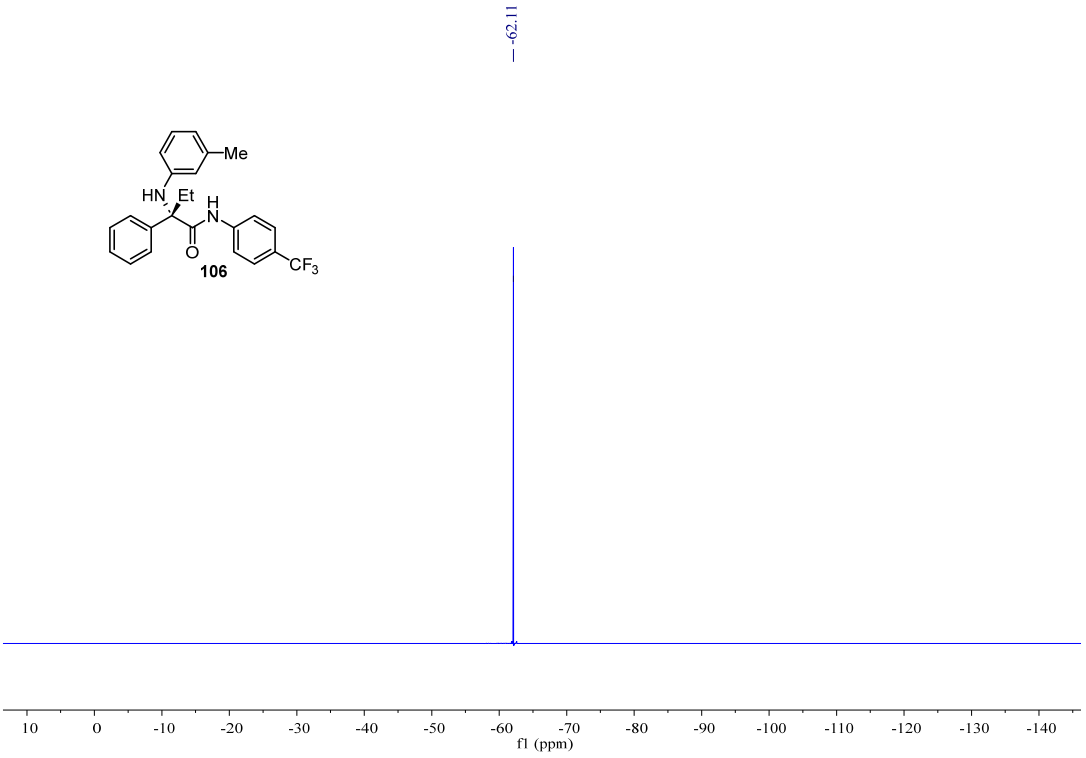

Et
HN
Et
Me
H
N
O
104
CF3
fl (ppm)

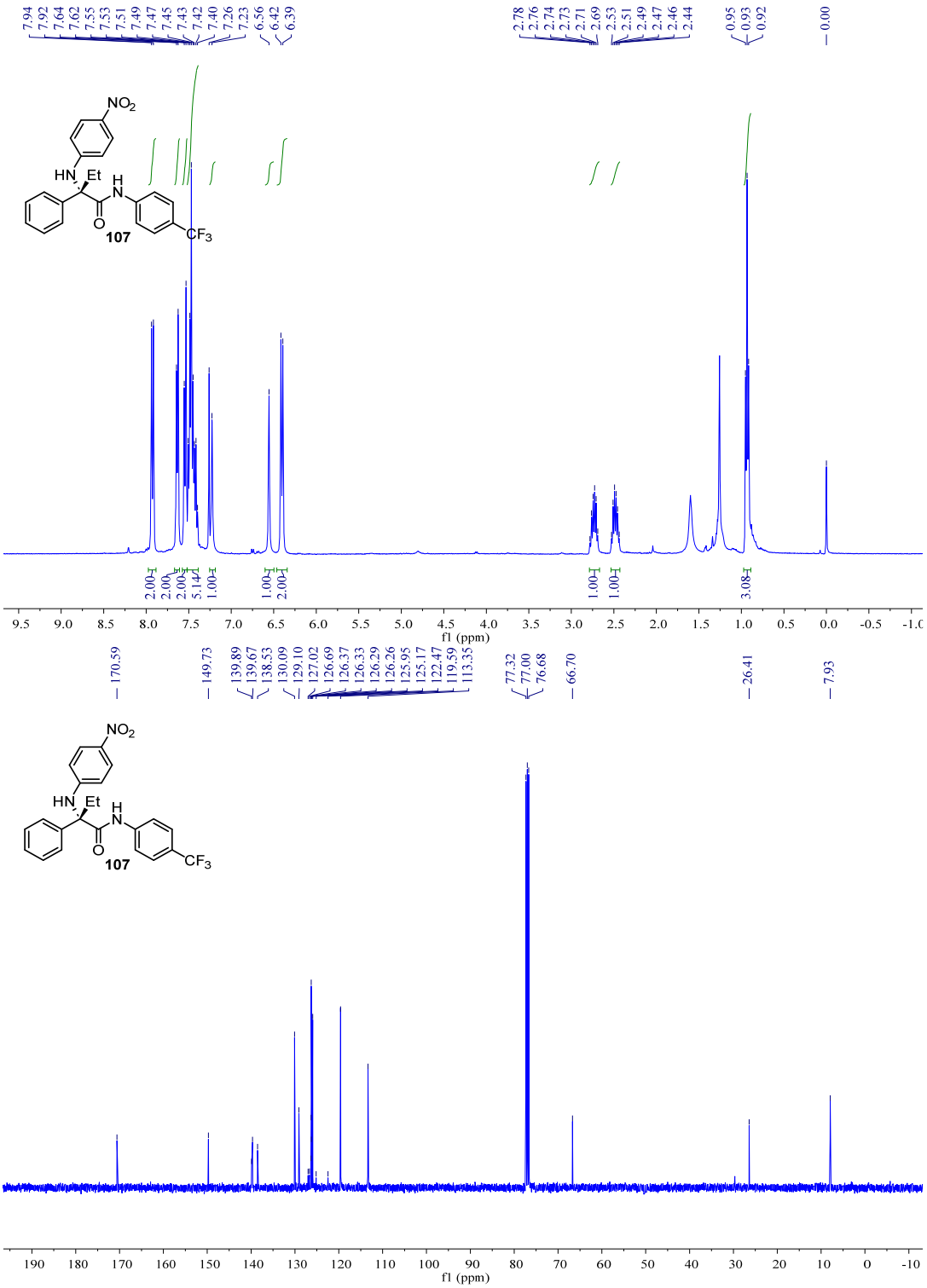

-62.08
Et
Me
HN
Et
H
N
O
104
CF3
10 5 0 -5 -10 -15 -20 -25 -30 -35 -40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135 -140
f1 (ppm)


Bpin
HN
Et
H
N
O
CF3
105
fl (ppm)

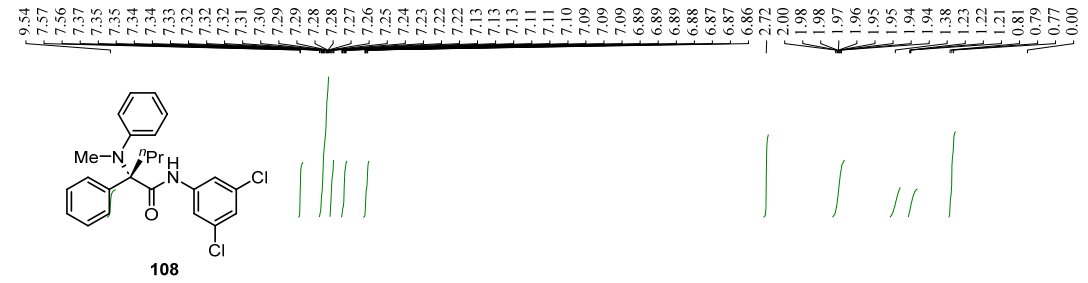

-62.11
Bpin
HN
Et
H
N
O
CF3
105
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)


Me
HN
Et
H
N
O
CF3
106
fl (ppm)


-62.11
Me
HN
Et
H
N
O
CF3
106
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)

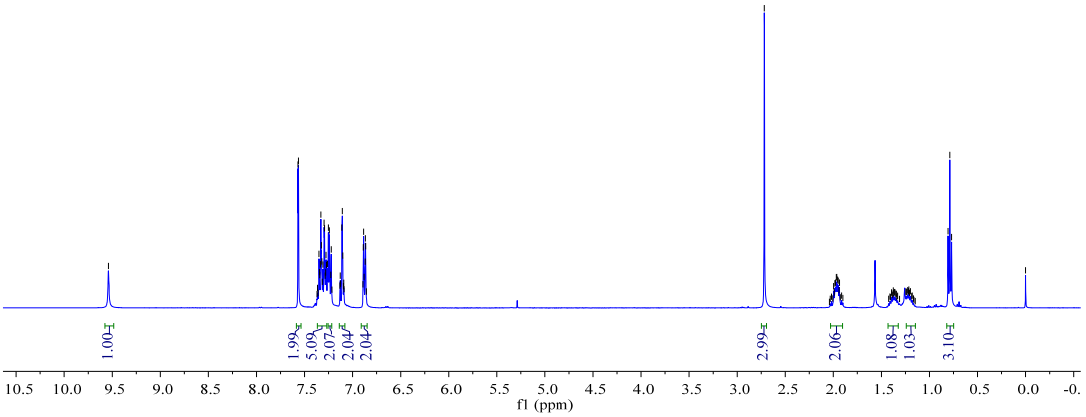

7.94
7.92
7.64
7.62
7.55
7.53
7.51
7.49
7.47
7.45
7.43
7.42
7.40
7.26
7.23
6.56
6.42
6.39
2.78
2.76
2.74
2.73
2.71
2.69
2.53
2.51
2.49
2.47
2.46
2.44
0.95
0.93
0.92
0.00
NO2
HN
Et
H
N
O
CF3
107
2.00
2.00
2.00
5.14
1.00
1.00
2.00
1.00
1.00
3.08
f1 (ppm)
170.59
149.73
139.89
139.67
138.53
130.09
129.10
127.02
126.69
126.37
126.33
126.29
126.26
125.95
125.17
122.47
119.59
113.35
77.32
77.00
76.68
66.70
26.41
7.93
f1 (ppm)


-62.30
NO2
HN
Et
H
N
O
CF3
103
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
f1 (ppm)


9.54 7.57 7.56 7.37 7.35 7.35 7.34 7.34 7.33 7.32 7.32 7.32 7.31 7.30 7.29 7.29 7.28 7.28 7.27 7.26 7.25 7.24 7.23 7.22 7.22 7.13 7.13 7.13 7.11 7.11 7.10 7.09 7.09 7.09 6.89 6.89 6.89 6.88 6.87 6.87 6.86 2.72 2.00 1.98 1.98 1.97 1.96 1.95 1.95 1.94 1.94 1.38 1.23 1.22 1.21 0.81 0.79 0.77 0.00

Me
N
nPr
H
N
O
Cl
Cl

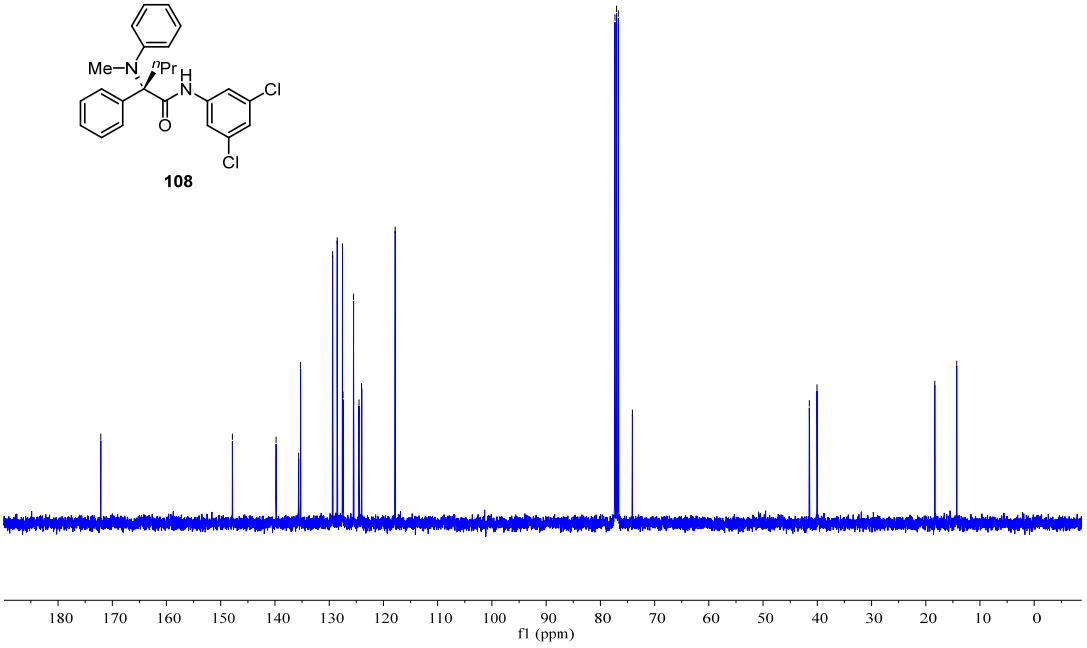
108

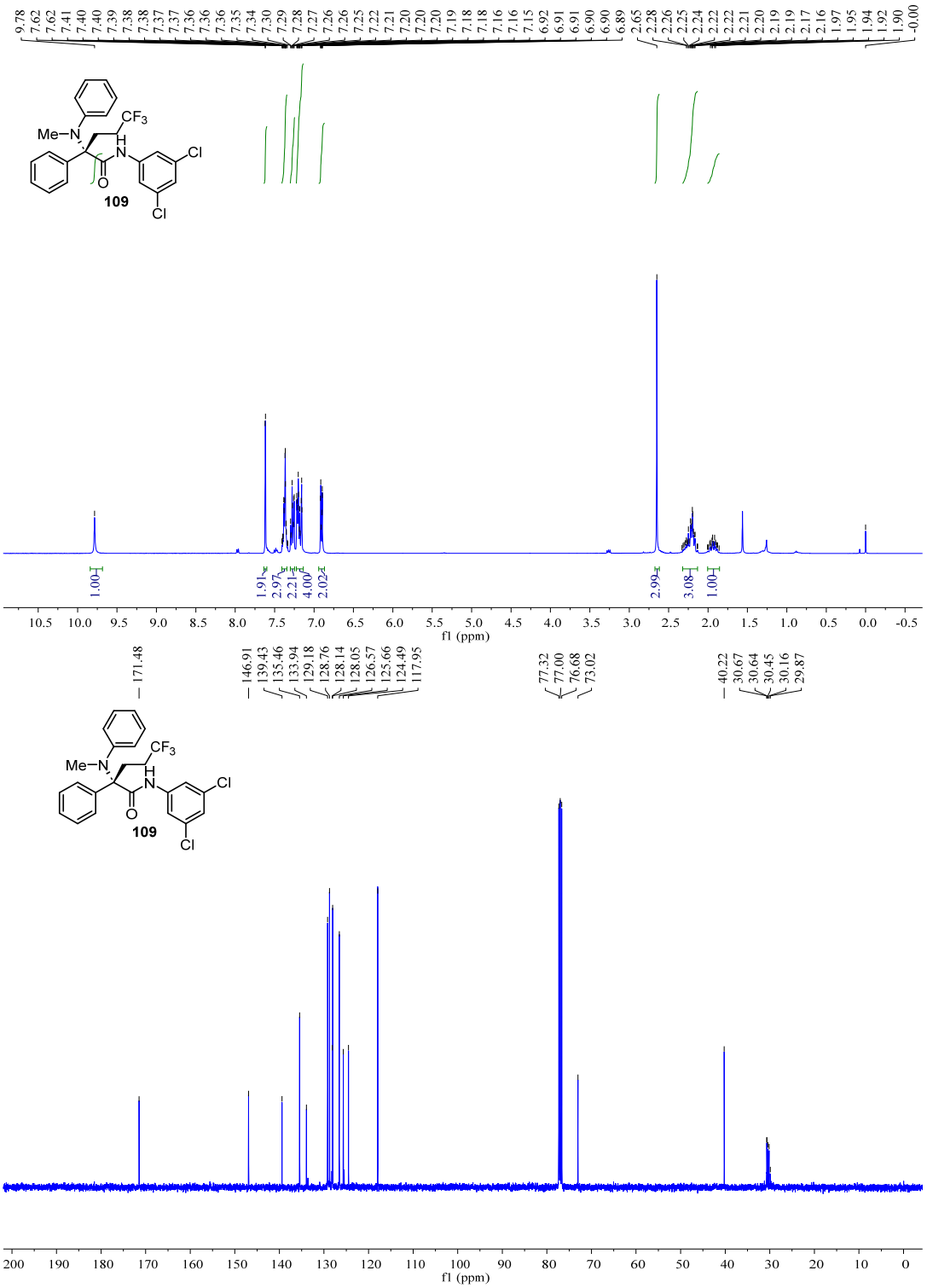

1.00 1.99 5.09 2.07 2.04 2.04 2.99 2.06 1.08 1.03 3.10
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.
f1 (ppm)


172.11
147.84 139.79 135.64 135.29 129.36 128.51 127.55 127.45 125.50 124.50 124.02 117.84
77.32 77.00 76.68 74.10
41.46 40.05
18.33 14.30

Me
N
nPr
H
N
O
Cl
Cl

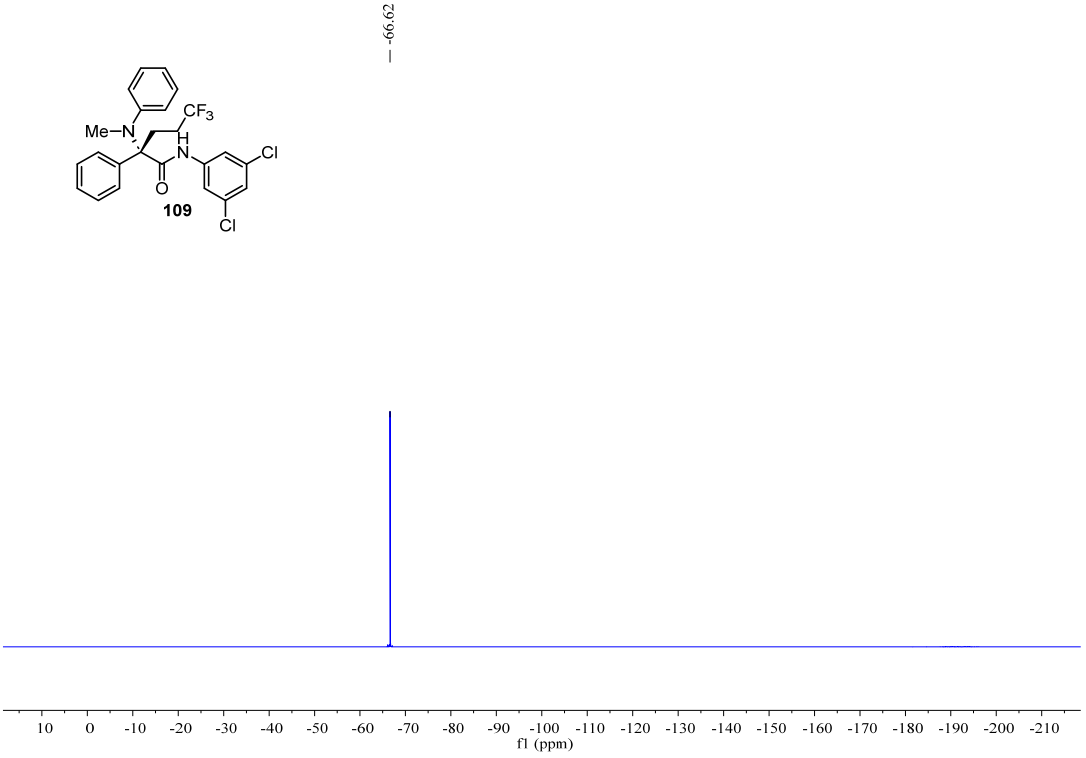
108

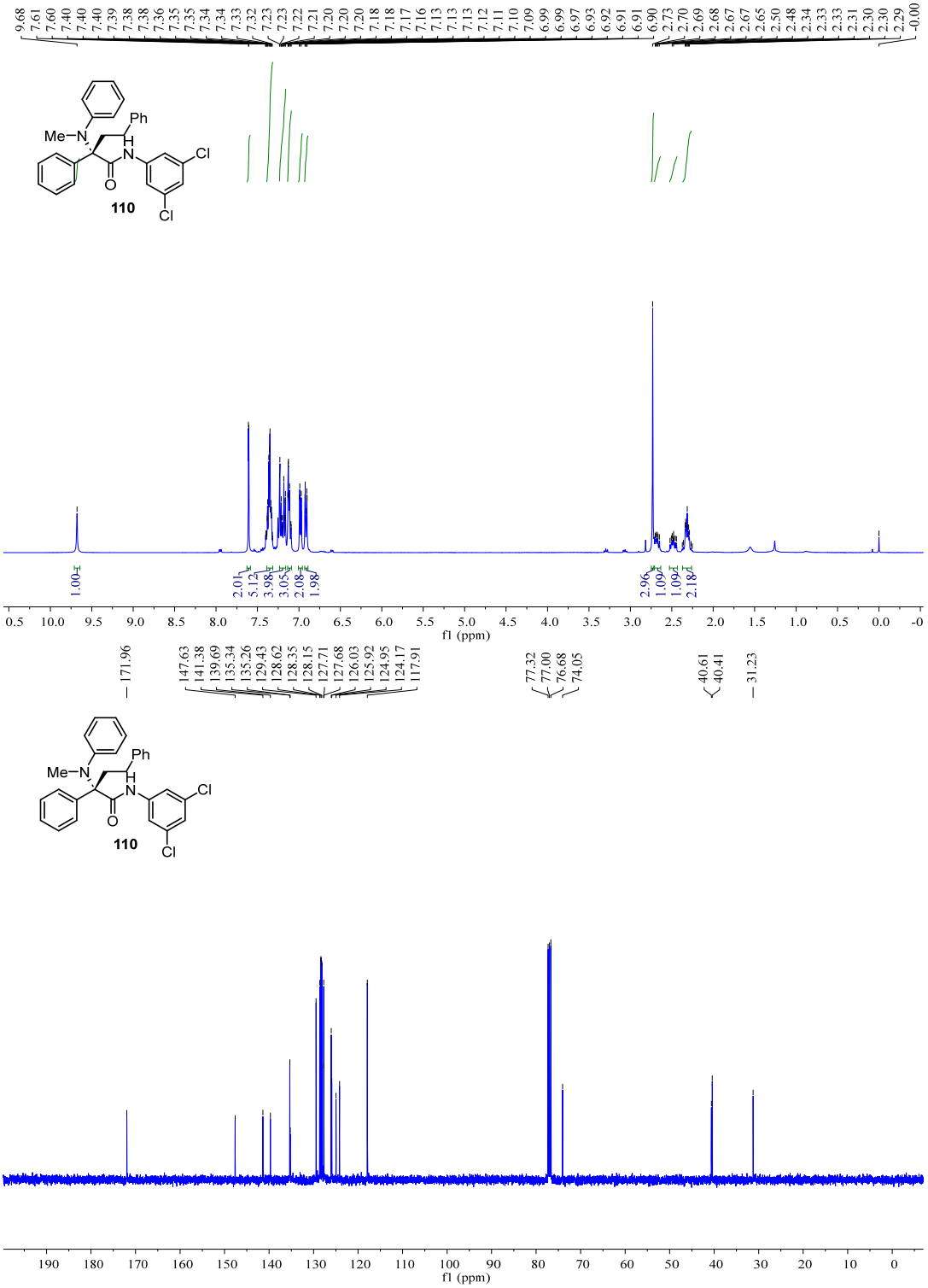

180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

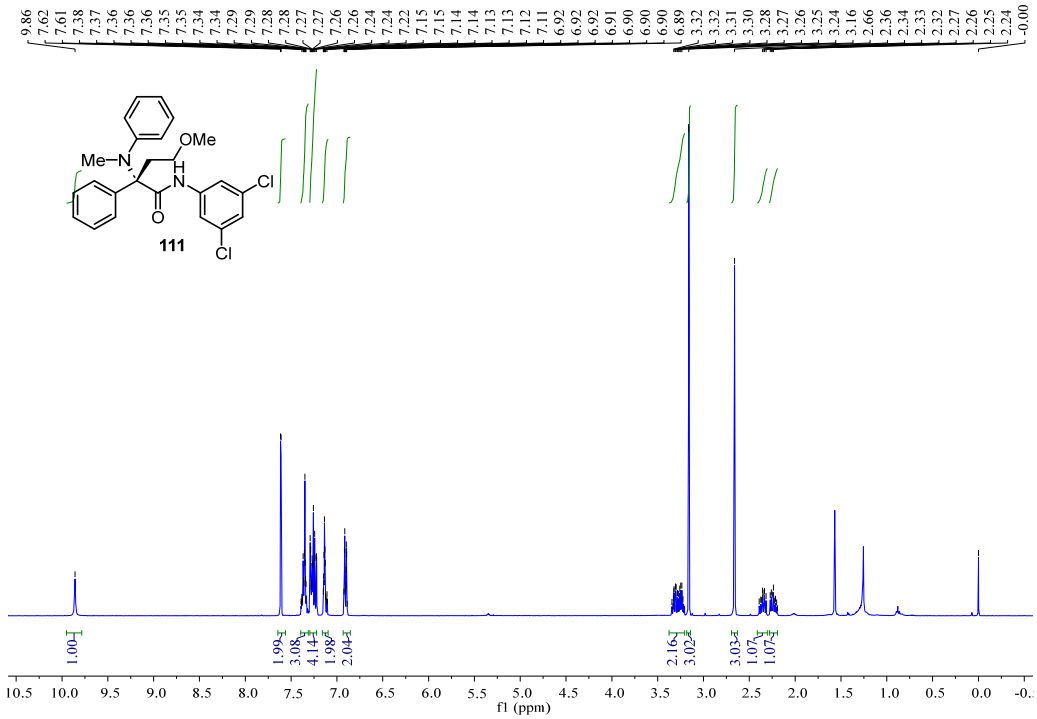

9.78 7.62 7.62 7.41 7.40 7.40 7.39 7.38 7.38 7.37 7.37 7.36 7.36 7.36 7.35 7.34 7.30 7.29 7.28 7.27 7.26 7.26 7.25 7.22 7.21 7.20 7.20 7.20 7.19 7.18 7.18 7.16 7.16 7.15 6.92 6.91 6.91 6.90 6.90 6.89 2.65 2.28 2.26 2.25 2.24 2.22 2.22 2.21 2.20 2.19 2.19 2.17 2.16 1.97 1.95 1.94 1.92 1.90 -0.00
Me
N
CF3
H
N
O
Cl
Cl
109
1.00 1.91 2.97 2.21 4.00 2.02 2.99 3.08 1.00
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 -0.5
f1 (ppm)
171.48
146.91 139.43 135.46 133.94 129.18 128.76 128.14 128.05 126.57 125.66 124.49 117.95
77.32 77.00 76.68 73.02
40.22 30.67 30.64 30.45 30.16 29.87
Me
N
CF3
H
N
O
Cl
Cl
109
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

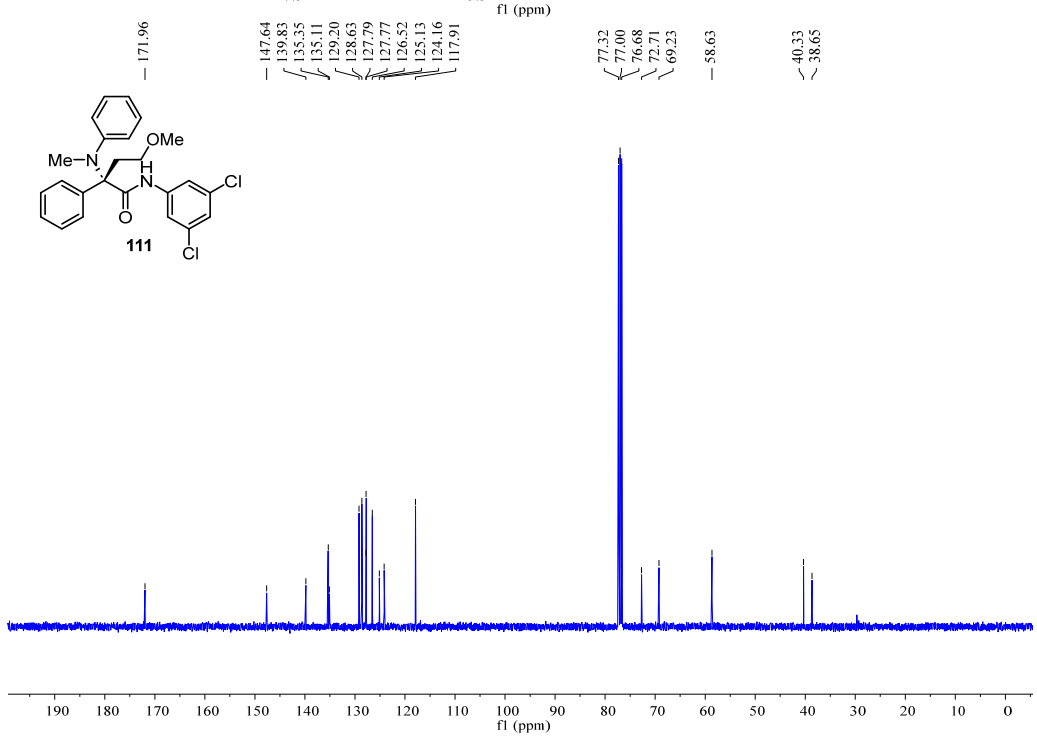
Me
N
CF3
H
N
O
Cl
Cl

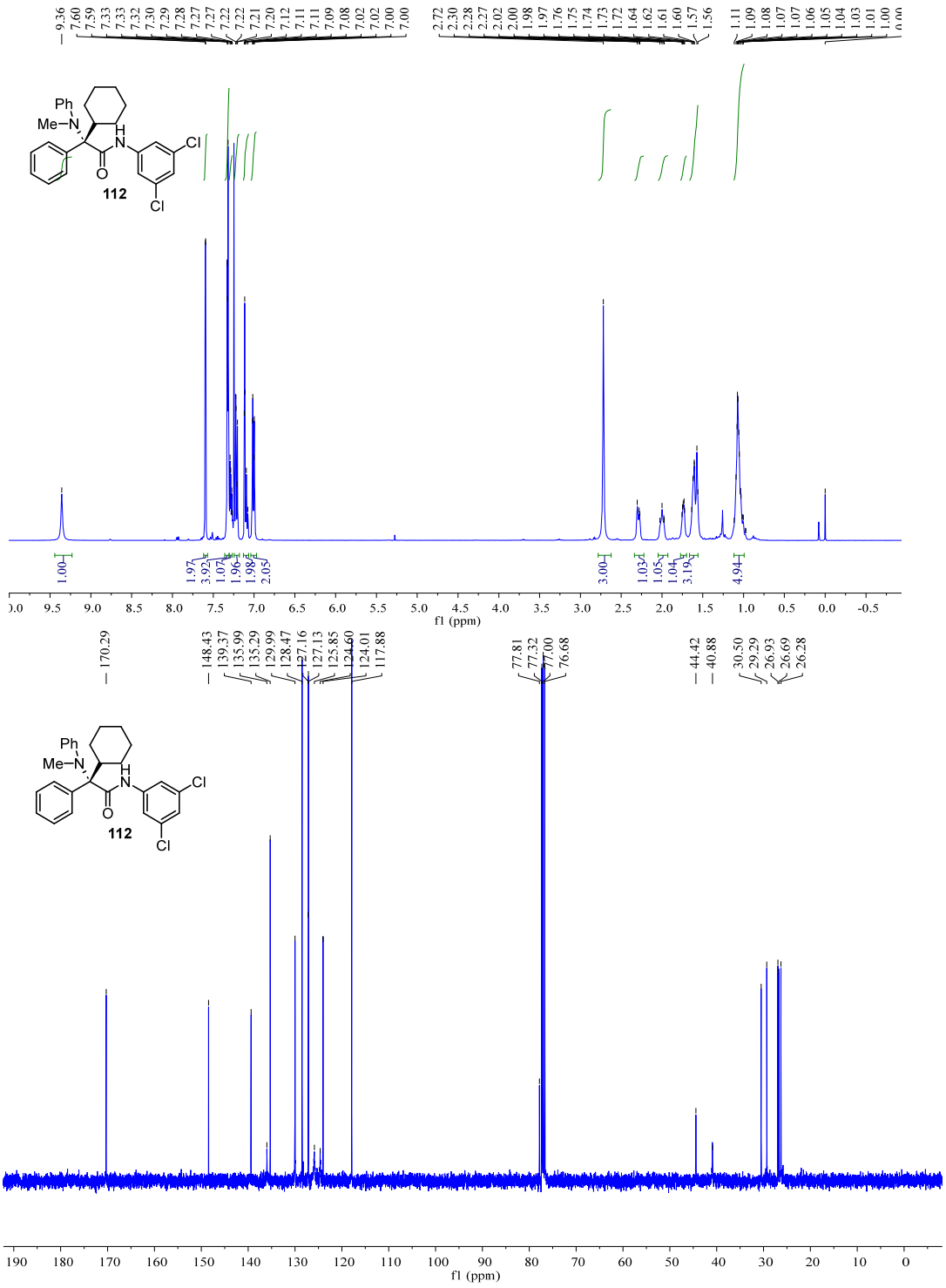
109

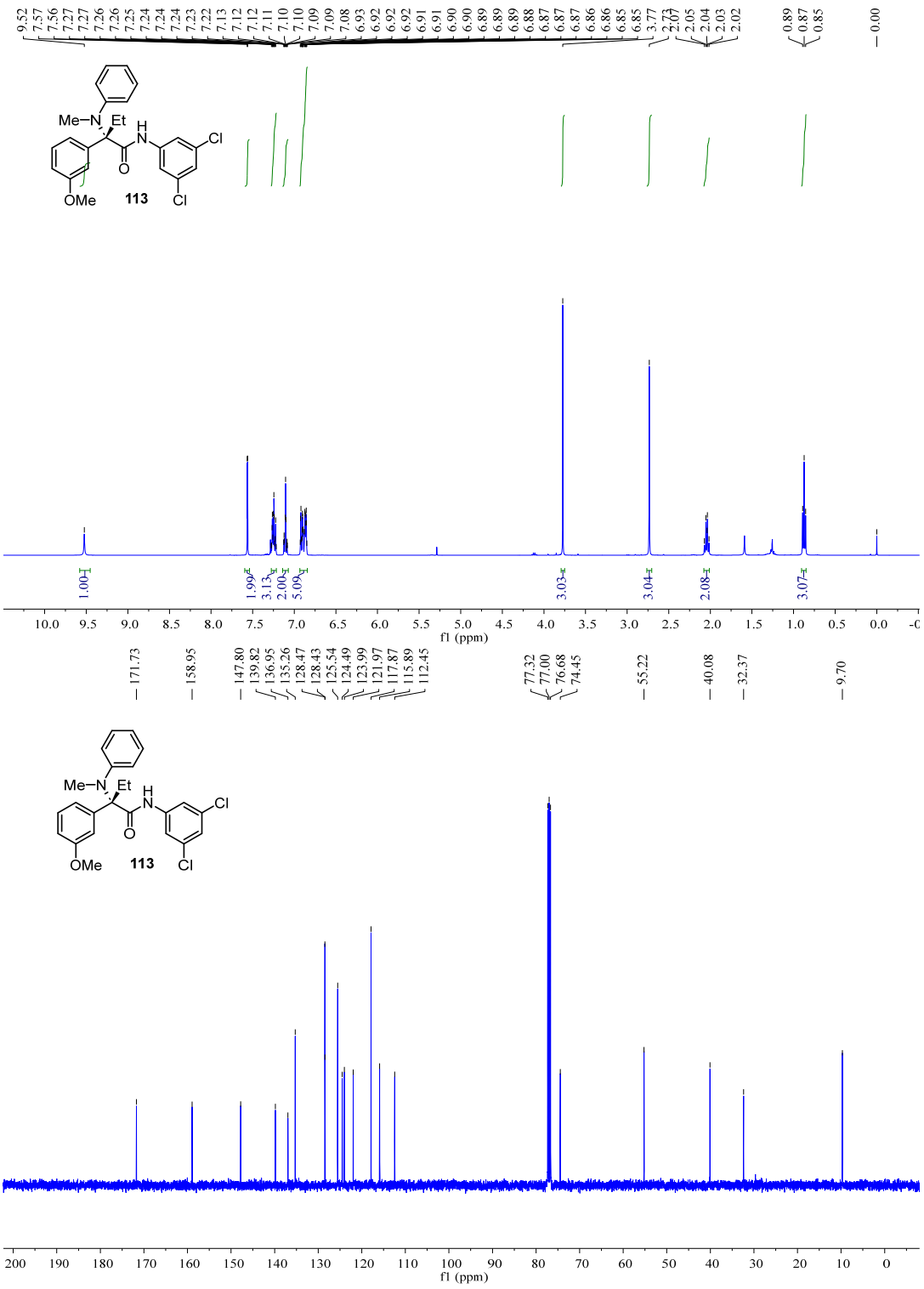

-66.62
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

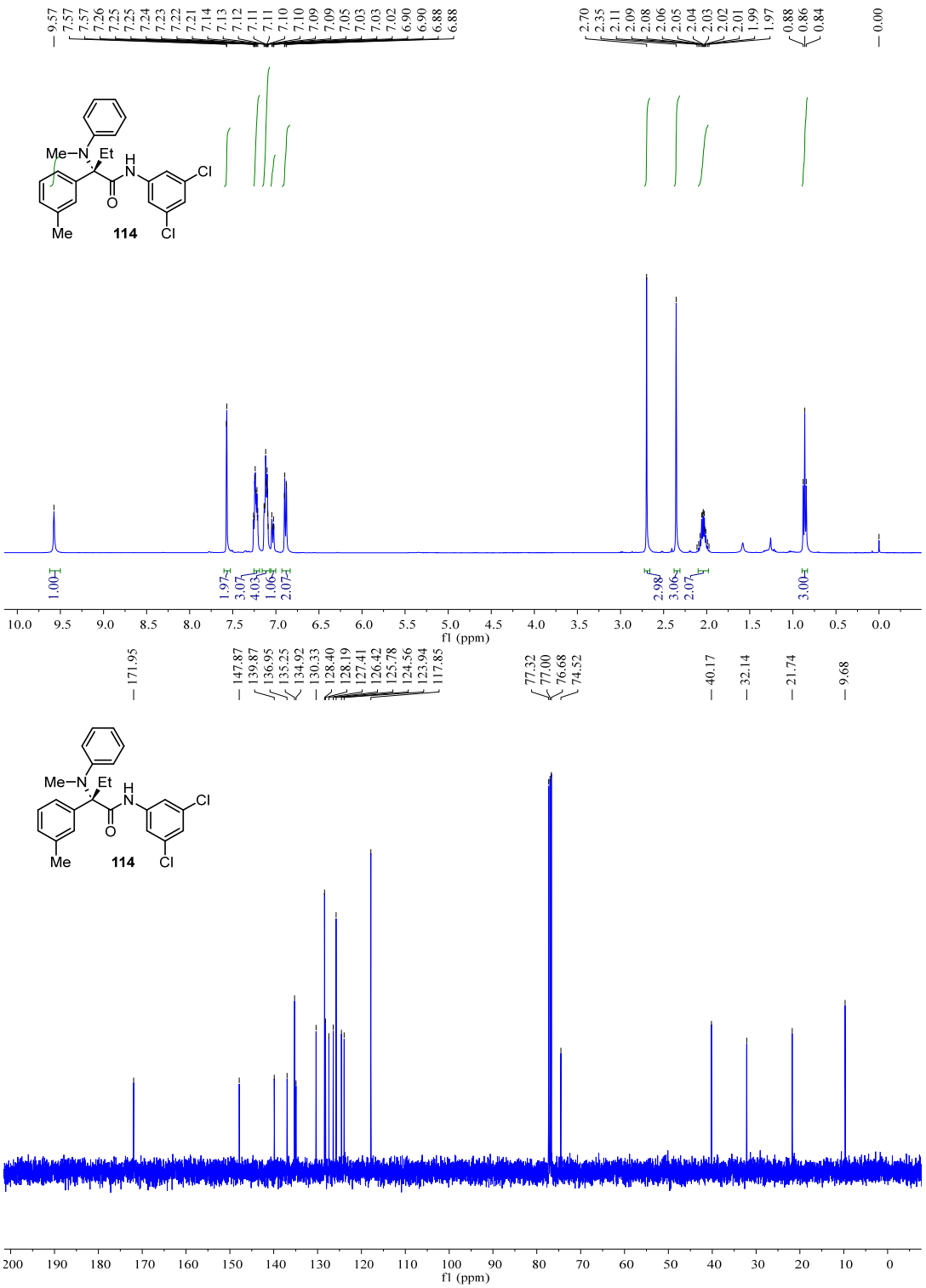

Me
N
Ph
H
N
Cl
O
Cl
110
fl (ppm)
Me
N
Ph
H
N
Cl
O
Cl
110
fl (ppm)

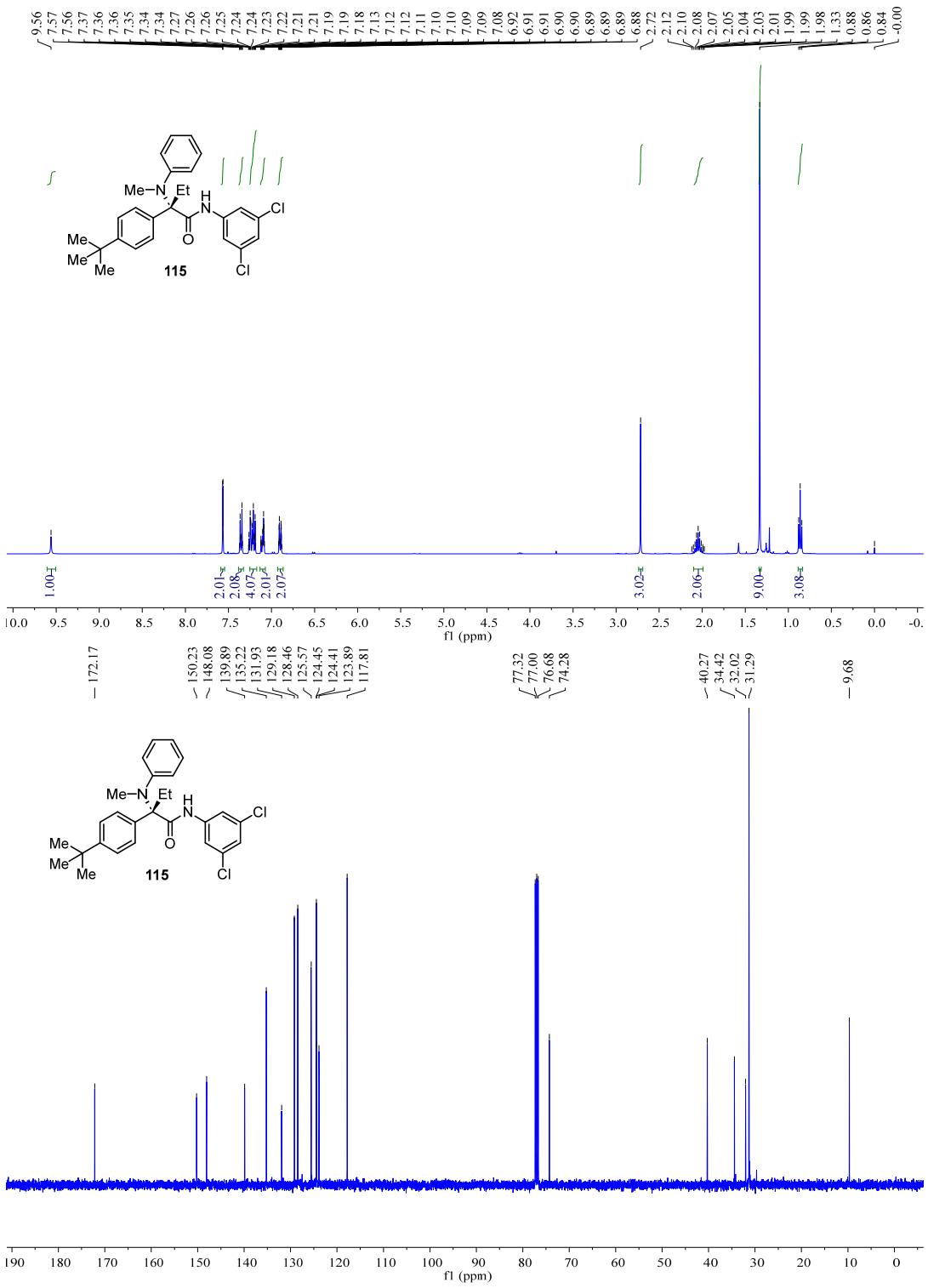

9.86 7.62 7.61 7.38 7.37 7.36 7.36 7.36 7.35 7.35 7.34 7.34 7.29 7.29 7.28 7.28 7.27 7.27 7.26 7.26 7.24 7.24 7.22 7.15 7.15 7.14 7.14 7.13 7.13 7.12 7.11 6.92 6.92 6.92 6.91 6.90 6.90 6.90 6.89 3.32 3.32 3.31 3.30 3.28 3.27 3.26 3.25 3.24 3.16 2.66 2.36 2.34 2.33 2.32 2.27 2.26 2.25 2.24 -0.00
OMe
Me–N
H
N
Cl
O
Cl
111
1.00 1.99 3.08 4.14 1.98 2.04 2.16 3.02 3.03 1.07 1.07
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)

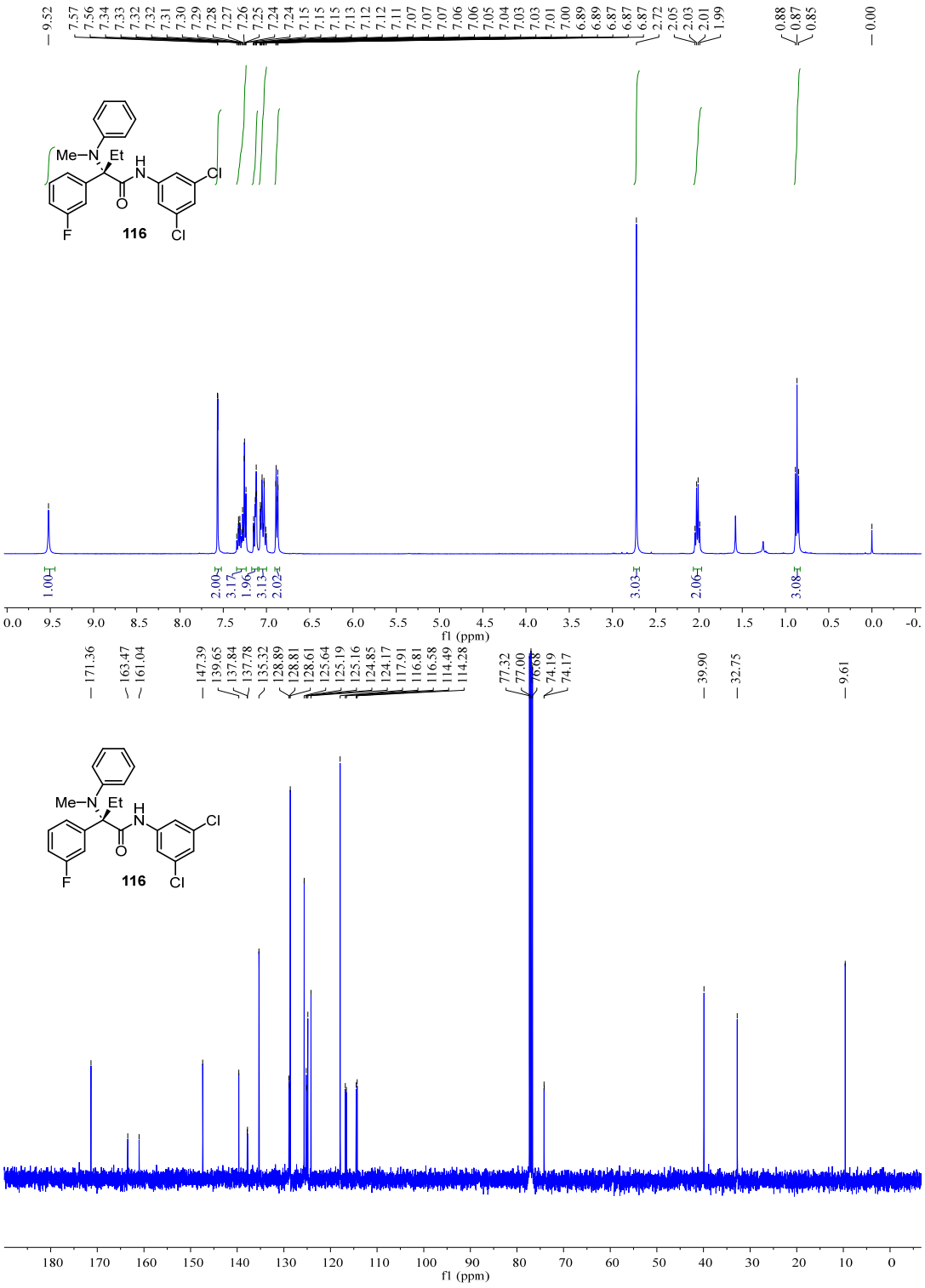

171.96
147.64 139.83 135.35 135.11 129.20 128.63 127.79 127.77 126.52 125.13 124.16 117.91
77.32 77.00 76.68 72.71 69.23
58.63
40.33 38.65
OMe
Me–N
H
N
Cl
O
Cl
111
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

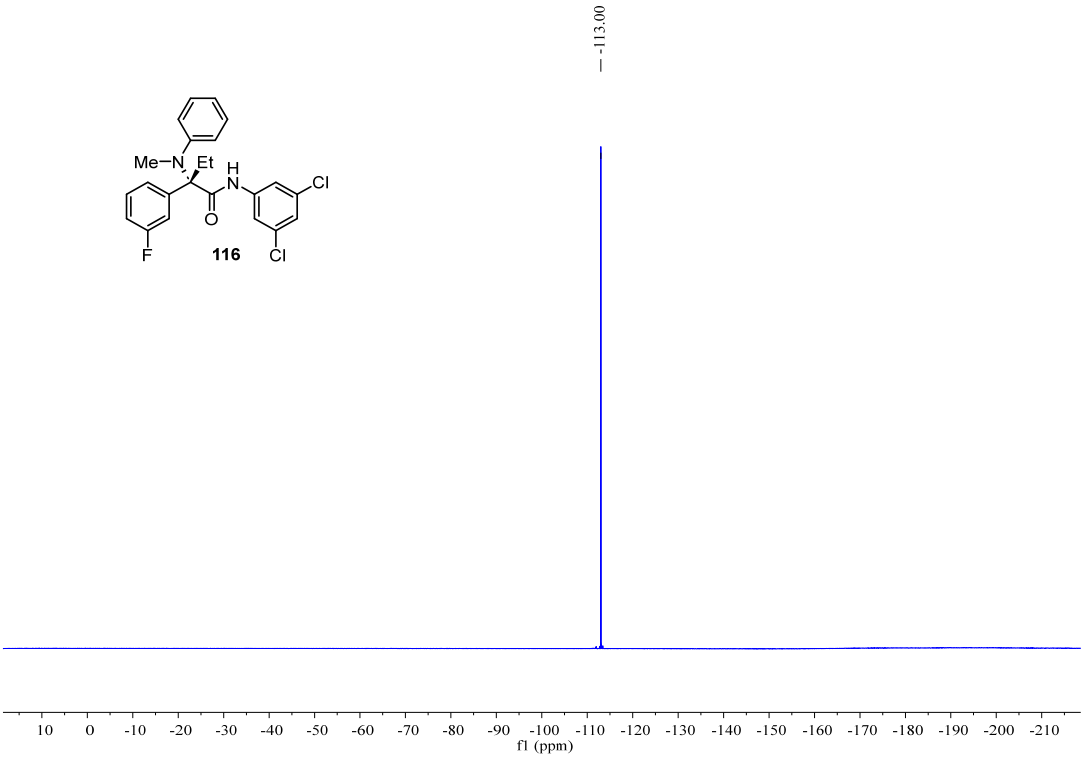

Ph
Me–N
H
N
Cl
O
112
Cl
fl (ppm)
Ph
Me–N
H
N
Cl
O
112
Cl
fl (ppm)

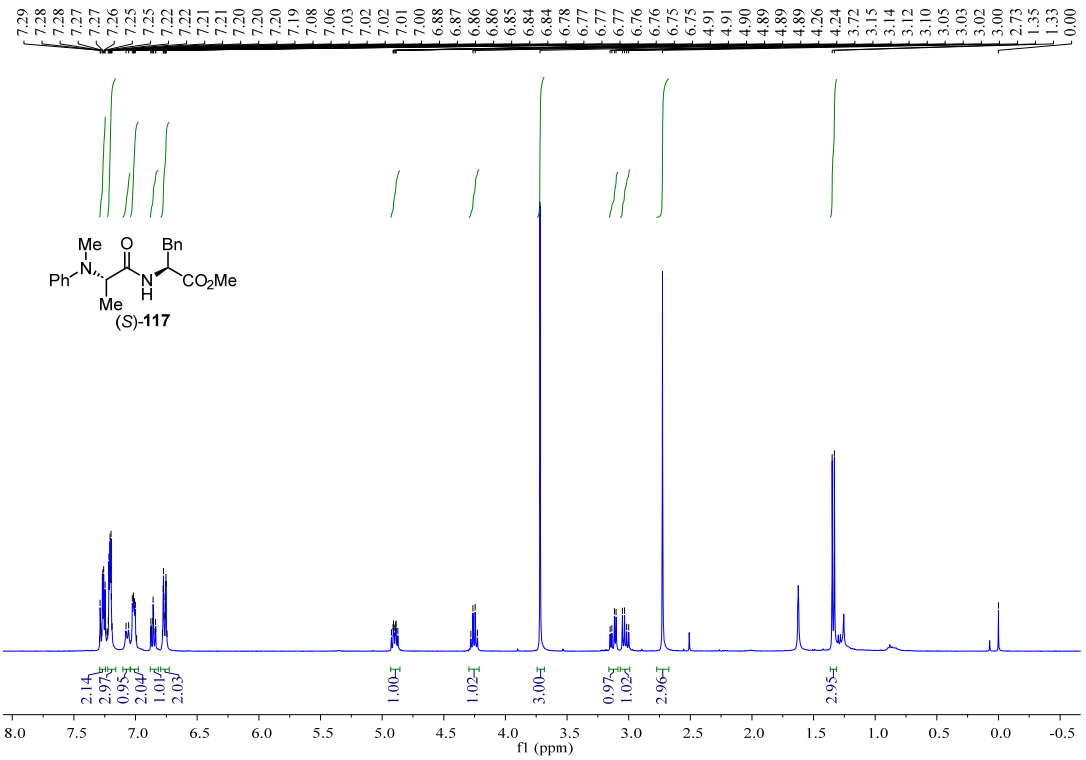

Me–N Et
H
N
Cl
O
OMe
113
Cl
fl (ppm)
fl (ppm)

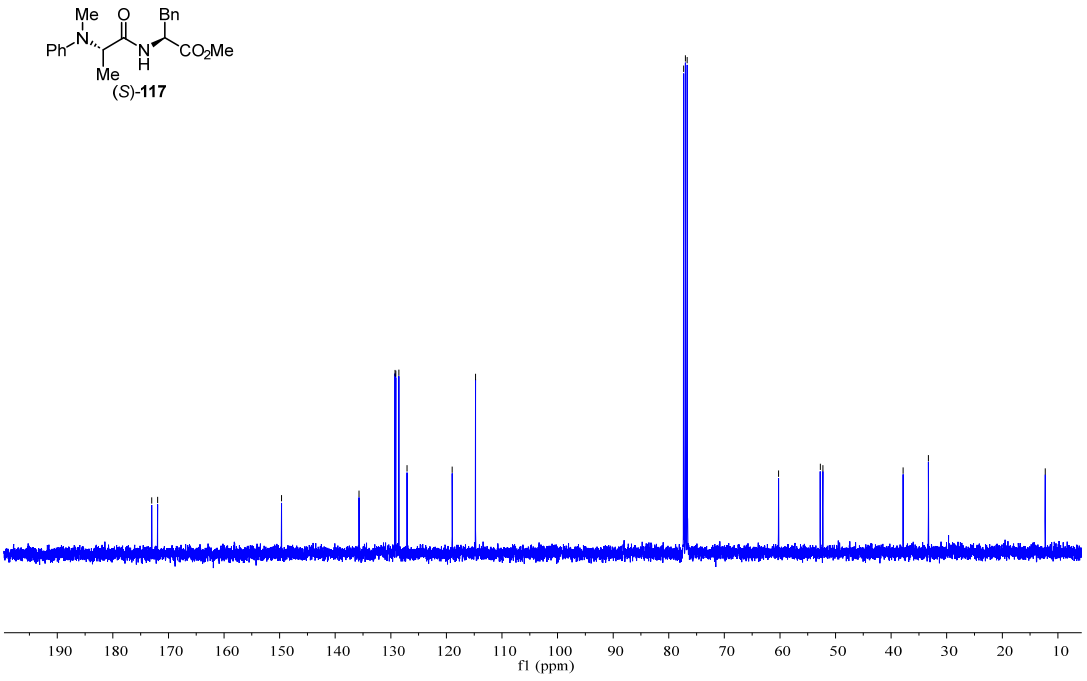

Me–N Et
H
N
Cl
O
Me
114
Cl
fl (ppm)

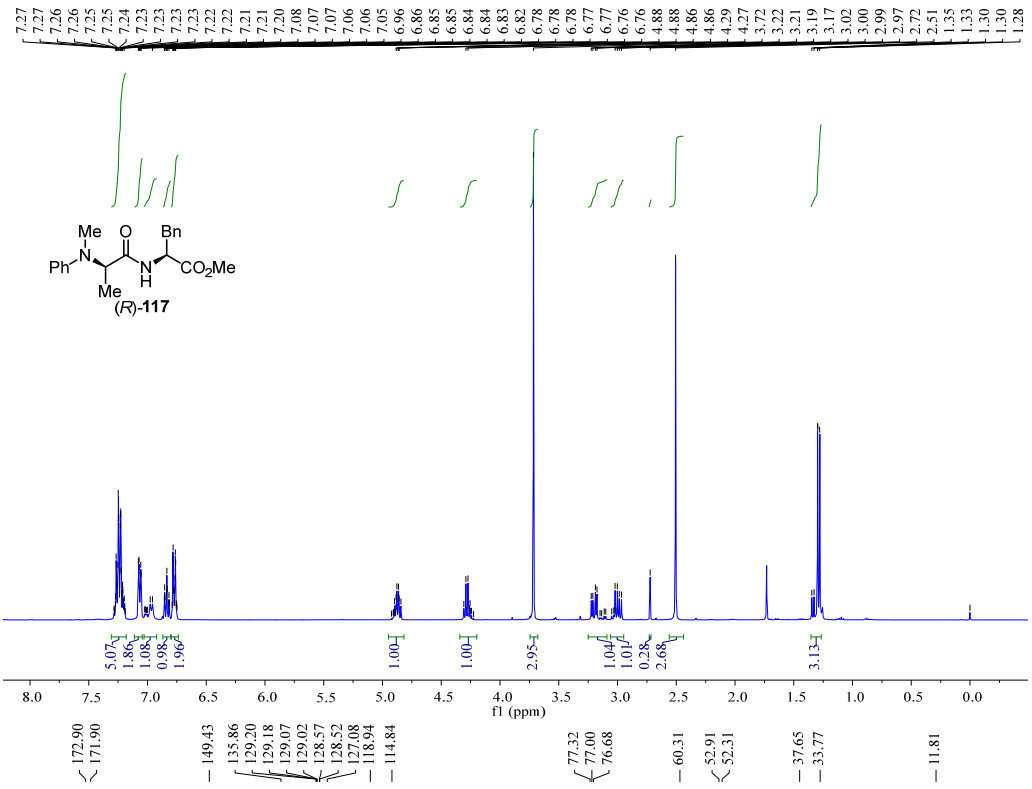

9.56 7.57 7.56 7.37 7.36 7.36 7.35 7.34 7.34 7.27 7.26 7.26 7.25 7.24 7.24 7.23 7.22 7.21 7.21 7.19 7.19 7.18 7.13 7.12 7.12 7.11 7.10 7.10 7.09 7.09 7.08 6.92 6.91 6.91 6.90 6.90 6.89 6.89 6.89 6.88 2.72 2.12 2.10 2.08 2.07 2.05 2.04 2.03 2.01 1.99 1.99 1.98 1.33 0.88 0.86 0.84 -0.00
Me–N Et
H
N
O
Cl
Me
Me
Me
115
Cl
1.00 2.01 2.08 4.07 2.01 2.07 3.02 2.06 9.00 3.08
f1 (ppm)
172.17 150.23 148.08 139.89 135.22 131.93 129.18 128.46 125.57 124.45 124.41 123.89 117.81 77.32 77.00 76.68 74.28 40.27 34.42 32.02 31.29 9.68
f1 (ppm)

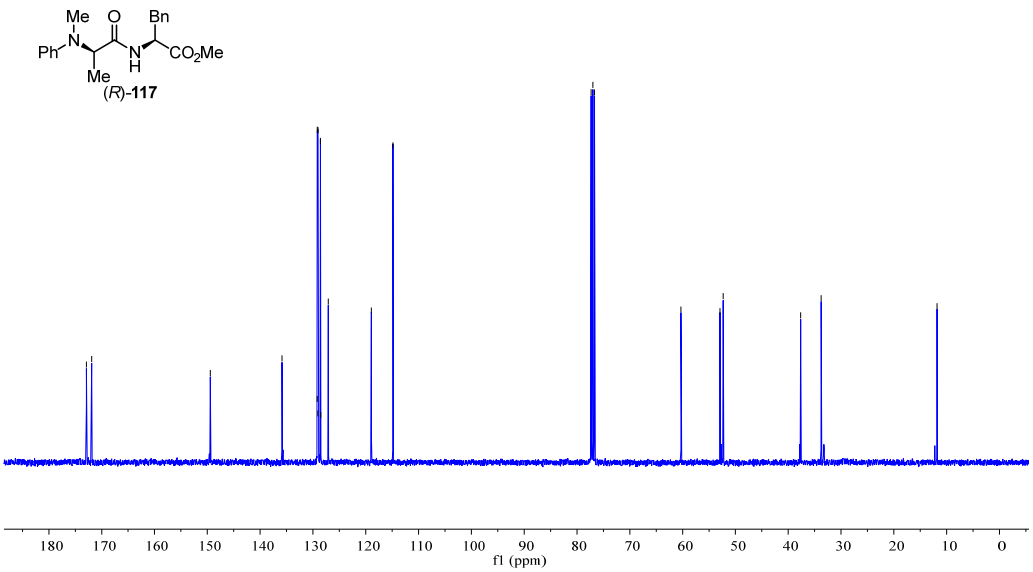

Me–N
Et
H
N
O
Cl
F
116
Cl
fl (ppm)

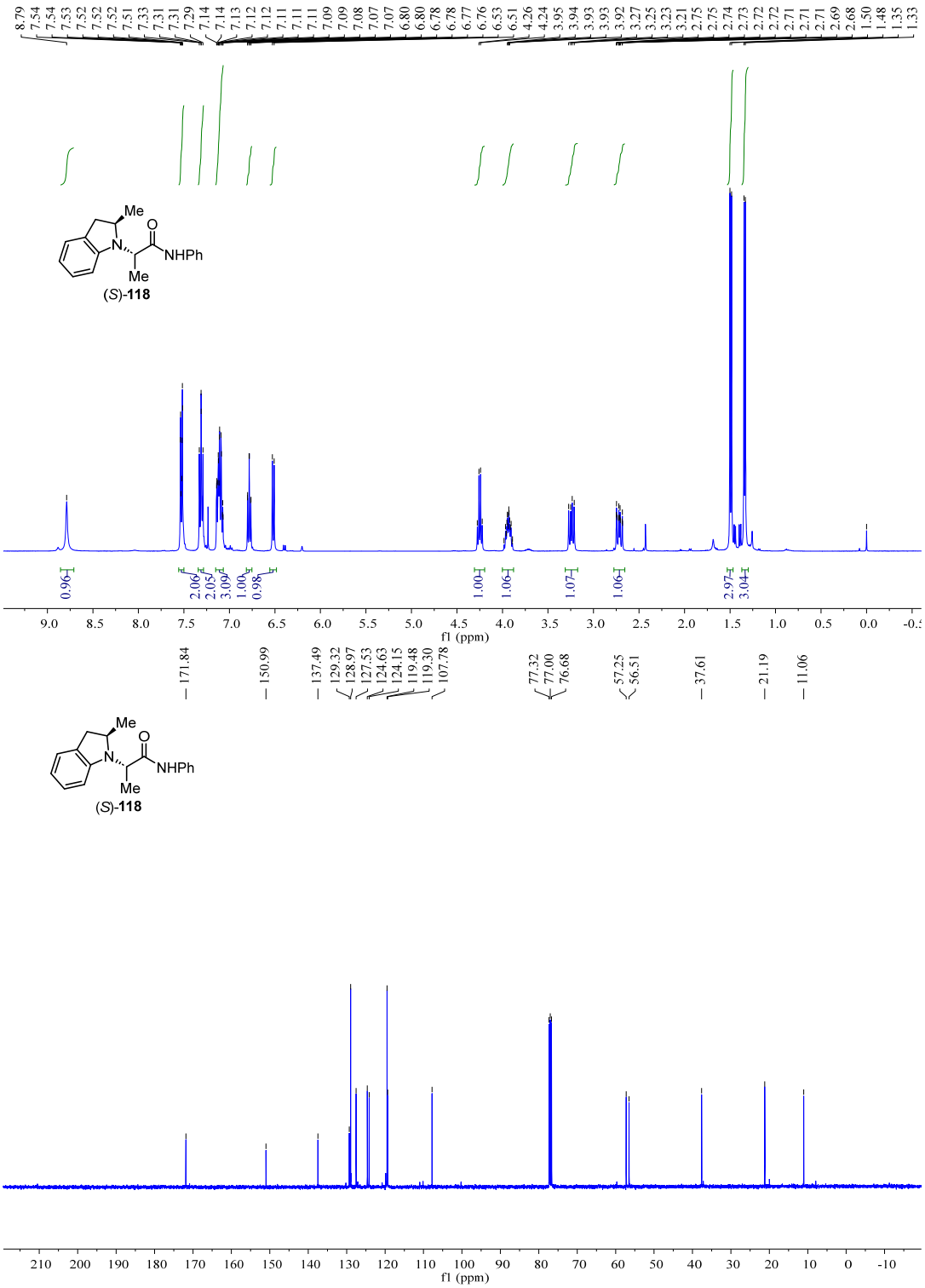

-113.00
Me
N
Et
H
N
Cl
O
F
116
Cl
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

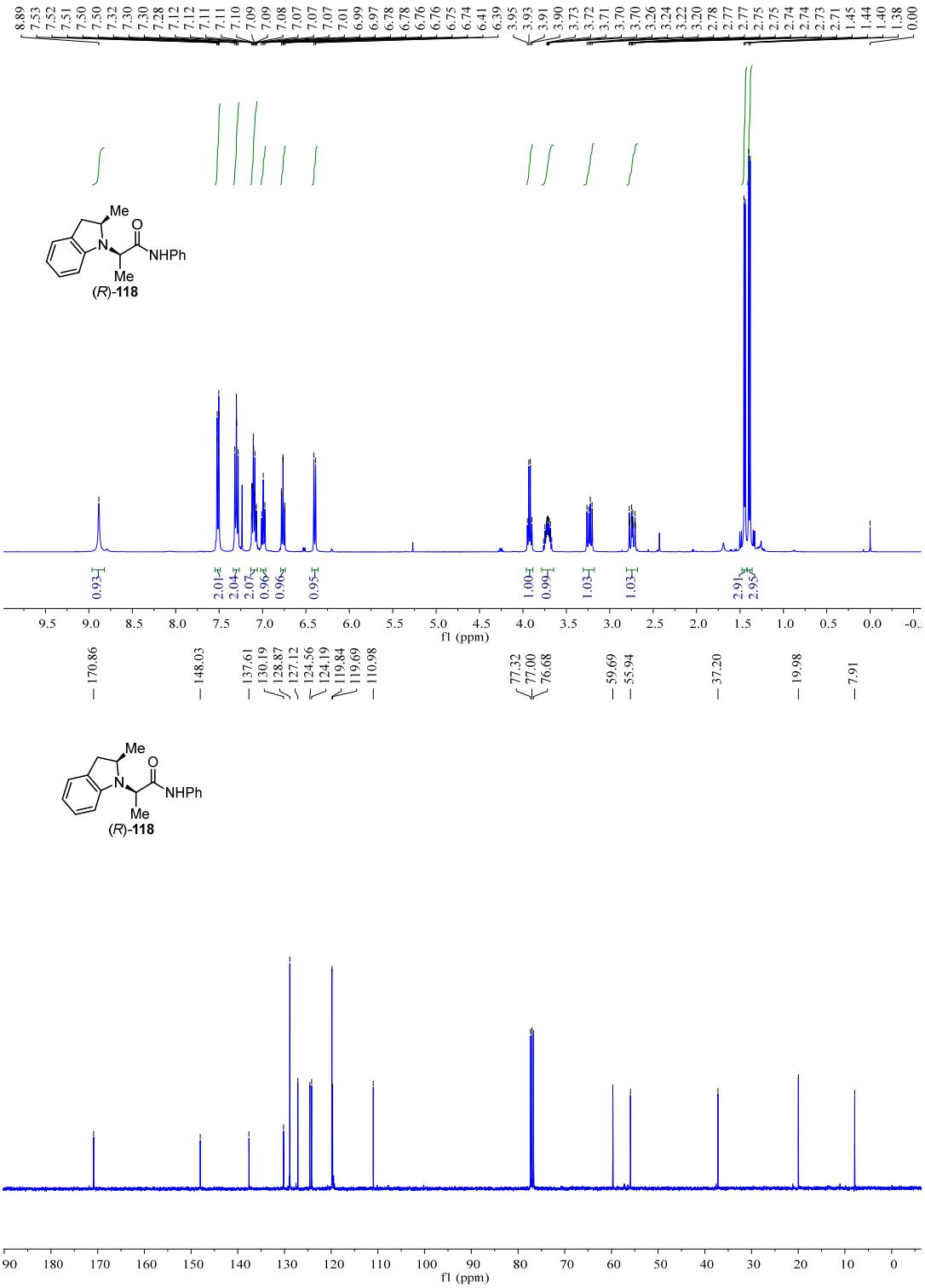

Me
O
Bn
Ph
N
N
H
CO2Me
Me
(S)-117
fl (ppm)

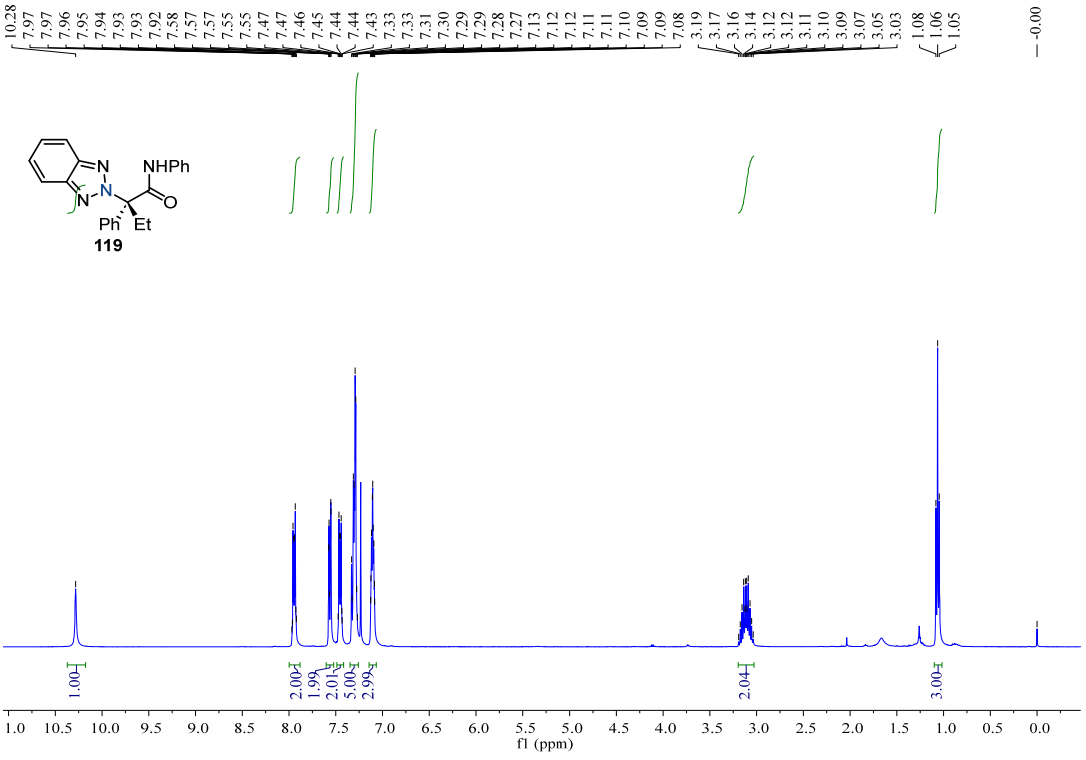

Me
O
Bn
Ph
N
N
H
CO2Me
Me
(S)-117
fl (ppm)

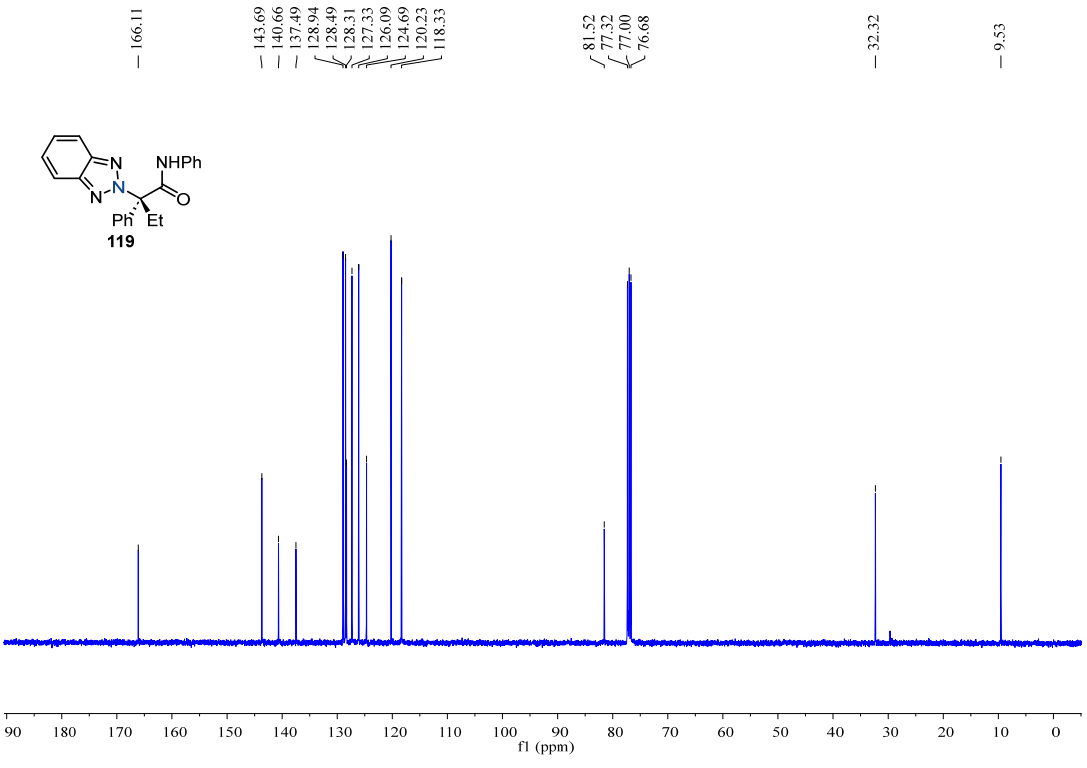

Me
O
Bn
Ph
N
N
H
CO2Me
Me
(R)-117
fl (ppm)

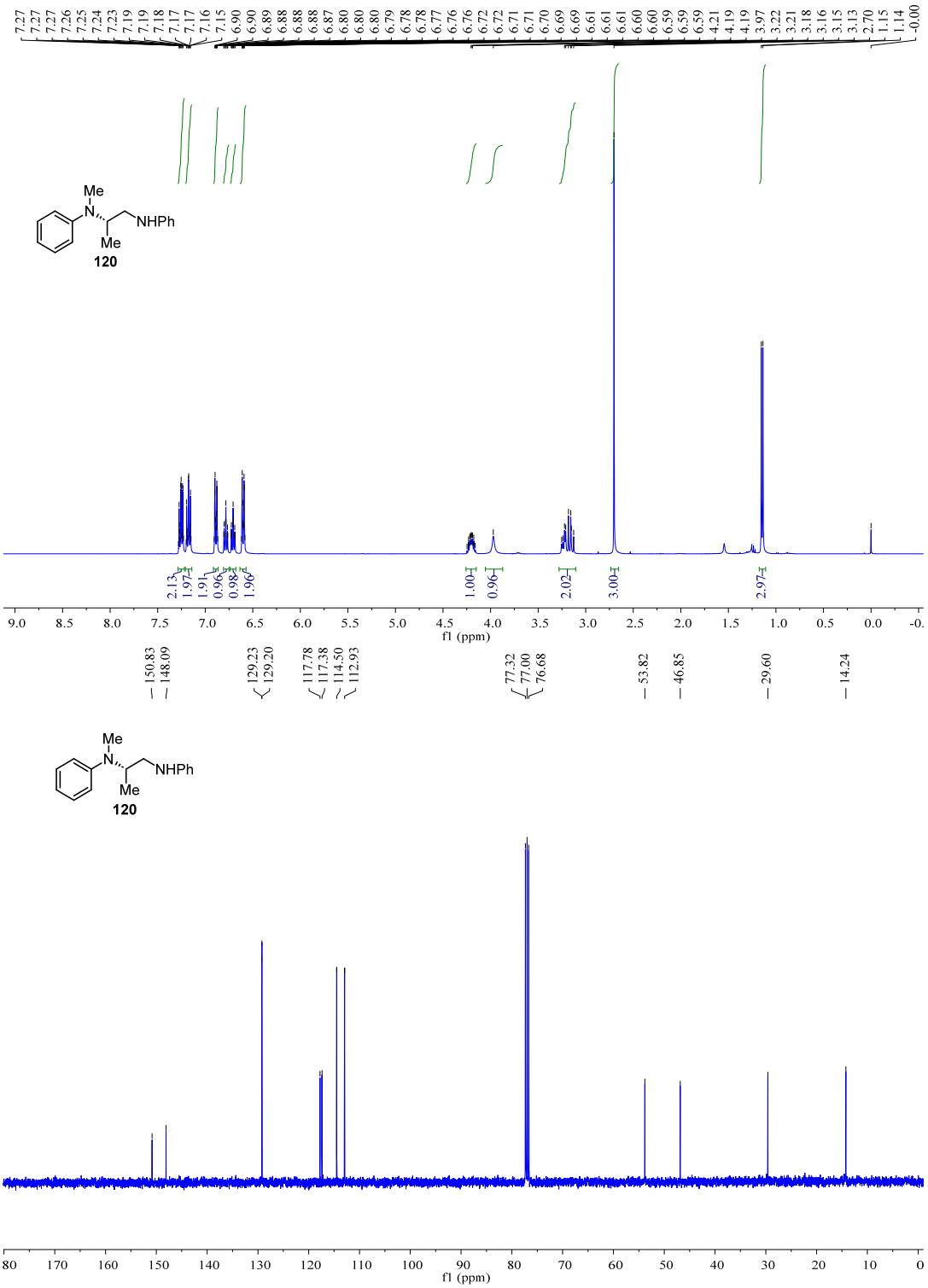

Me
O
Bn
Ph
N
N
H
CO2Me
Me
(R)-117
fl (ppm)

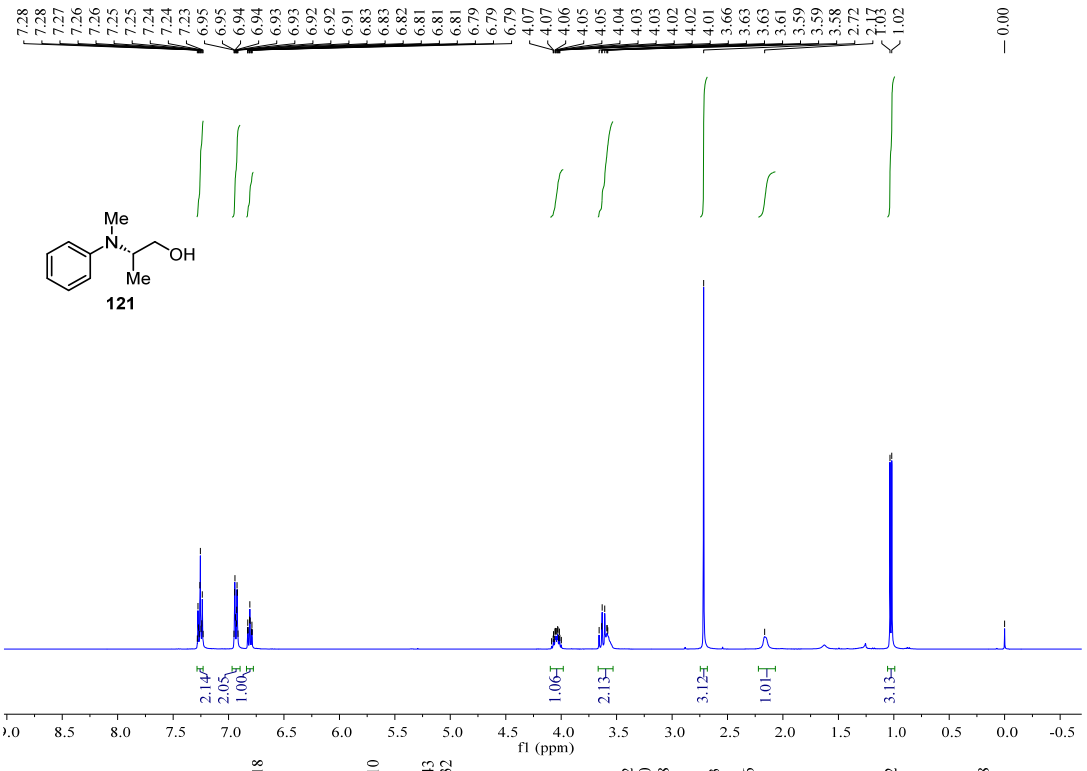

Me
O
NHPh
Me
(S)-118
fl (ppm)
Me
O
NHPh
Me
(S)-118
fl (ppm)


8.89 7.53 7.52 7.51 7.50 7.50 7.32 7.30 7.30 7.28 7.12 7.12 7.11 7.11 7.10 7.09 7.09 7.08 7.07 7.07 7.07 7.01 6.99 6.97 6.78 6.78 6.76 6.76 6.75 6.74 6.41 6.39 3.95 3.93 3.91 3.90 3.73 3.72 3.71 3.70 3.70 3.26 3.24 3.22 3.20 2.78 2.77 2.77 2.75 2.75 2.74 2.74 2.73 2.71 1.45 1.44 1.40 1.38 0.00
Me
O
NHPh
Me
(R)-118
0.93 2.01 2.04 2.07 0.96 0.96 0.95 1.00 0.99 1.03 1.03 2.91 2.95
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)
170.86 148.03 137.61 130.19 128.87 127.12 124.56 124.19 119.84 119.69 110.98 77.32 77.00 76.68 59.69 55.94 37.20 19.98 7.91
Me
O
NHPh
Me
(R)-118
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


10.28
7.97
7.97
7.96
7.95
7.94
7.93
7.93
7.92
7.58
7.57
7.57
7.55
7.55
7.47
7.47
7.46
7.45
7.44
7.44
7.43
7.33
7.31
7.30
7.29
7.29
7.28
7.27
7.13
7.12
7.12
7.11
7.11
7.10
7.09
7.09
7.08
3.19
3.17
3.16
3.14
3.12
3.12
3.11
3.10
3.09
3.07
3.05
3.03
1.08
1.06
1.05
-0.00
NHPh
O
Ph
Et
119
1.00
2.00
1.99
2.01
5.00
2.99
2.04
3.00
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)


166.11
143.69
140.66
137.49
128.94
128.49
128.31
127.33
126.09
124.69
120.23
118.33
81.52
77.32
77.00
76.68
32.32
9.53
NHPh
O
Ph
Et
119
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


Me
N
NHPh
Me
120
fl (ppm)
Me
N
NHPh
Me
120
fl (ppm)


7.28
7.28
7.27
7.26
7.26
7.25
7.25
7.24
7.24
7.23
6.95
6.95
6.94
6.94
6.93
6.93
6.92
6.92
6.91
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6.83
6.82
6.81
6.81
6.81
6.79
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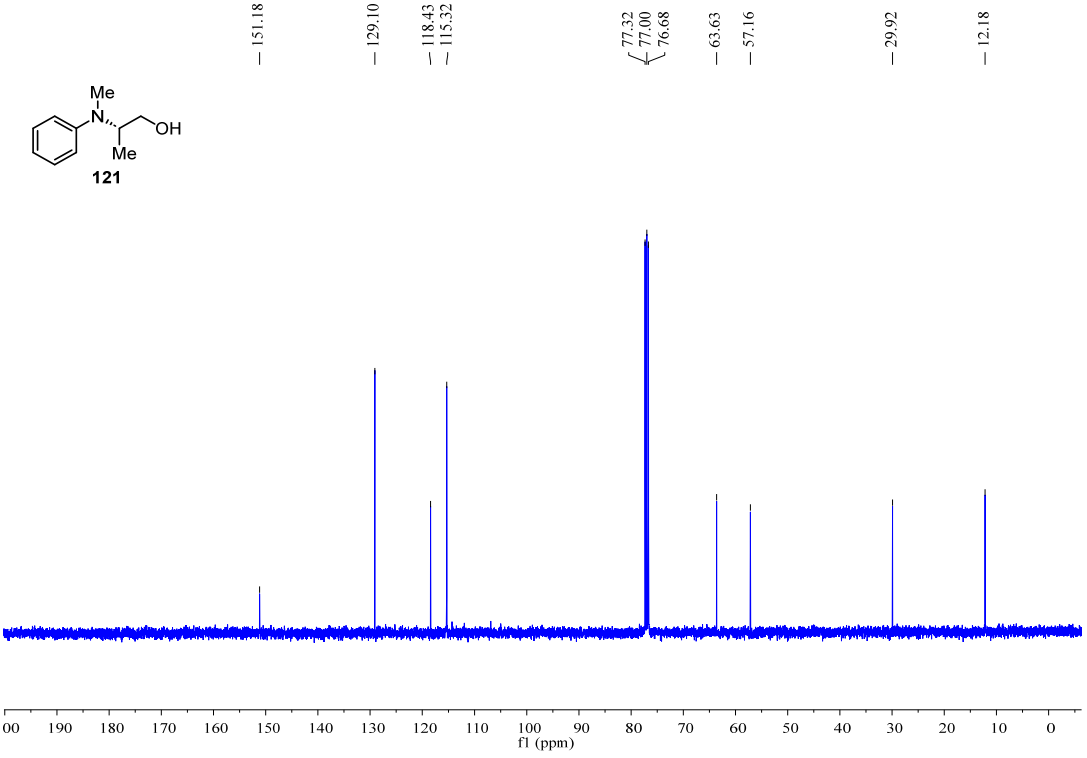
6.79
4.07
4.07
4.06
4.05
4.05
4.04
4.03
4.03
4.02
4.02
4.01
3.66
3.63
3.63
3.61
3.59
3.59
3.58
2.72
1.03
1.02
0.00
Me
N
OH
Me
121
2.14
2.05
1.00
1.06
2.13
3.12
1.01
3.13
f1 (ppm)


151.18
129.10
118.43
115.32
77.32
77.00
76.68
63.63
57.16
29.92
12.18
Me
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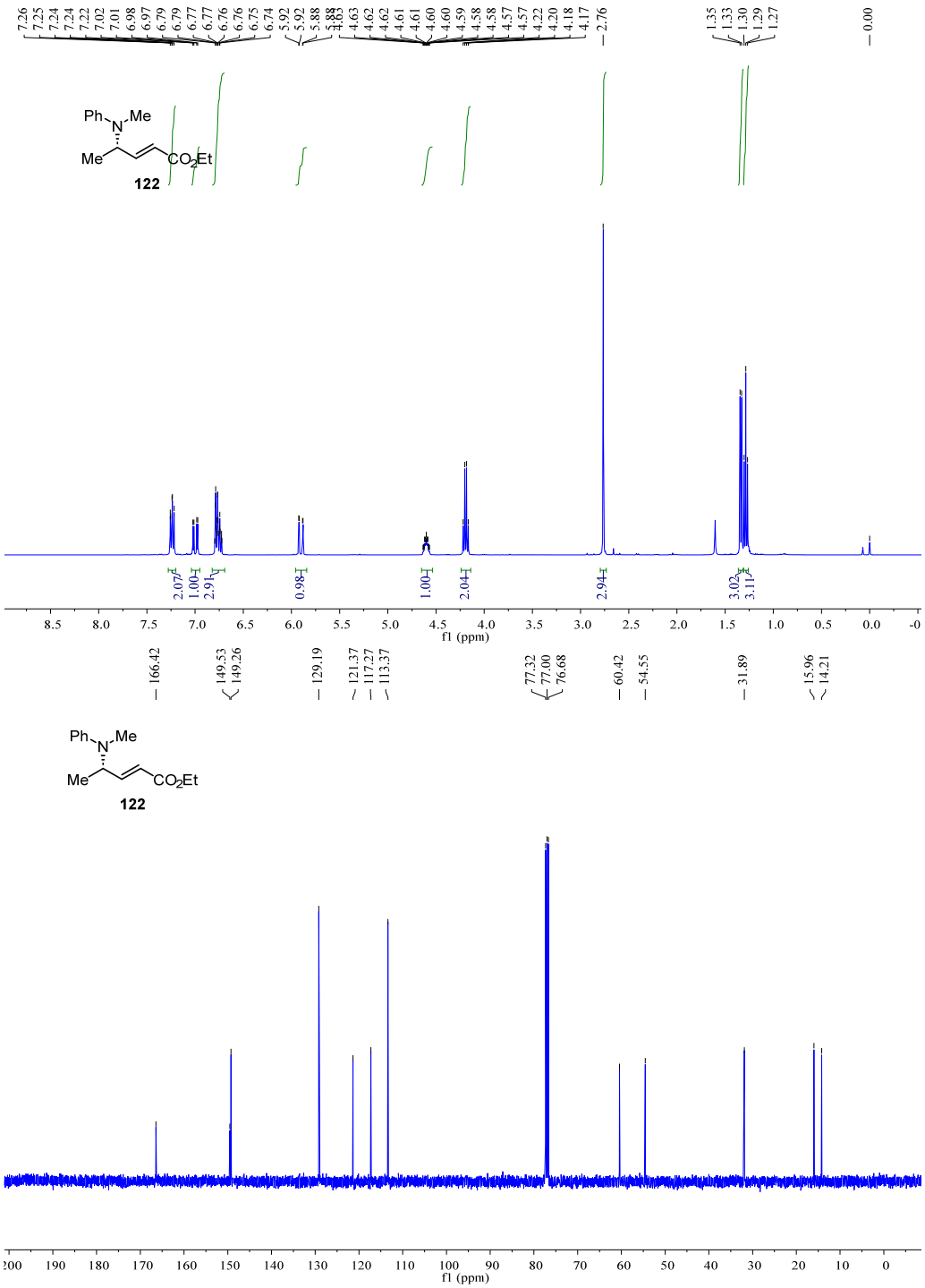
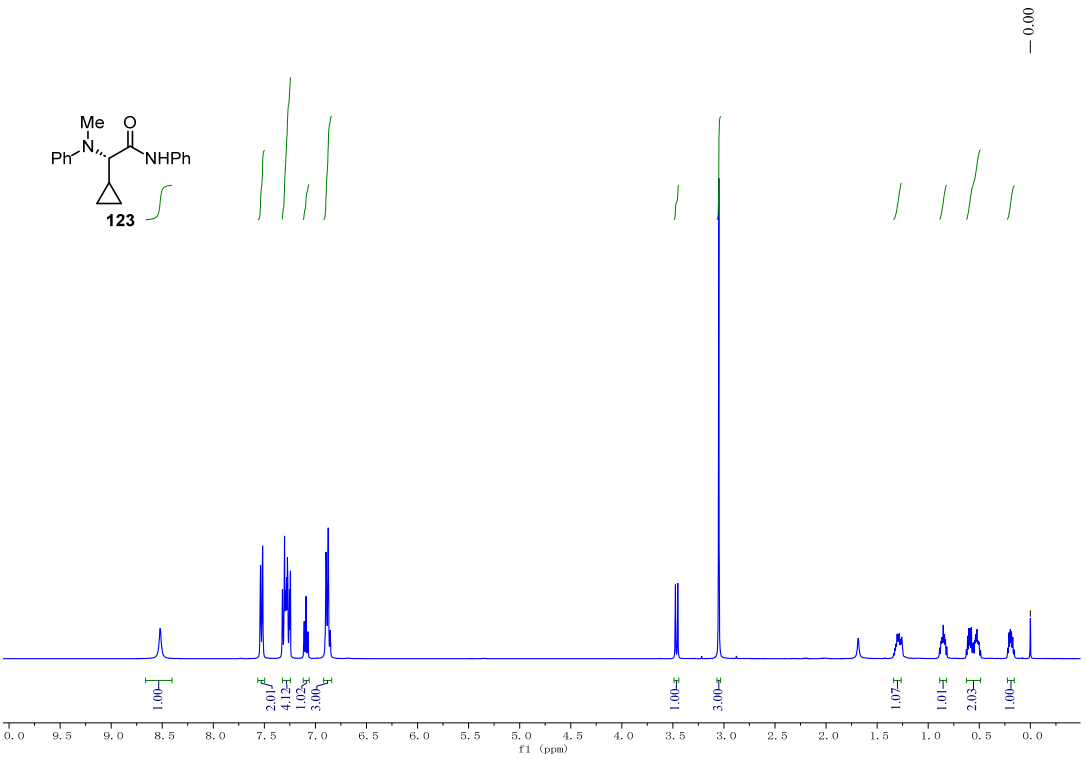
OH
Me
121
f1 (ppm)


Ph
N
Me
Me
CO2Et
122
fl (ppm)
fl (ppm)


Me
O
Ph
N
NHPh
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2.01
4.12
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3.00
1.00
3.00
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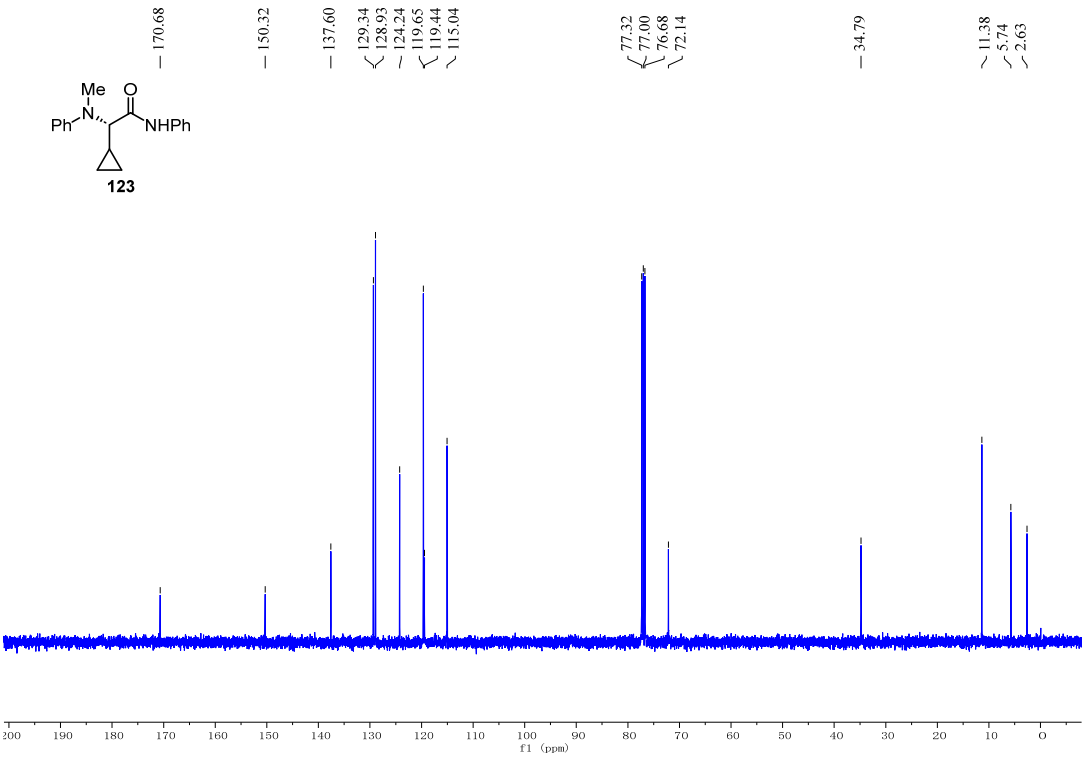
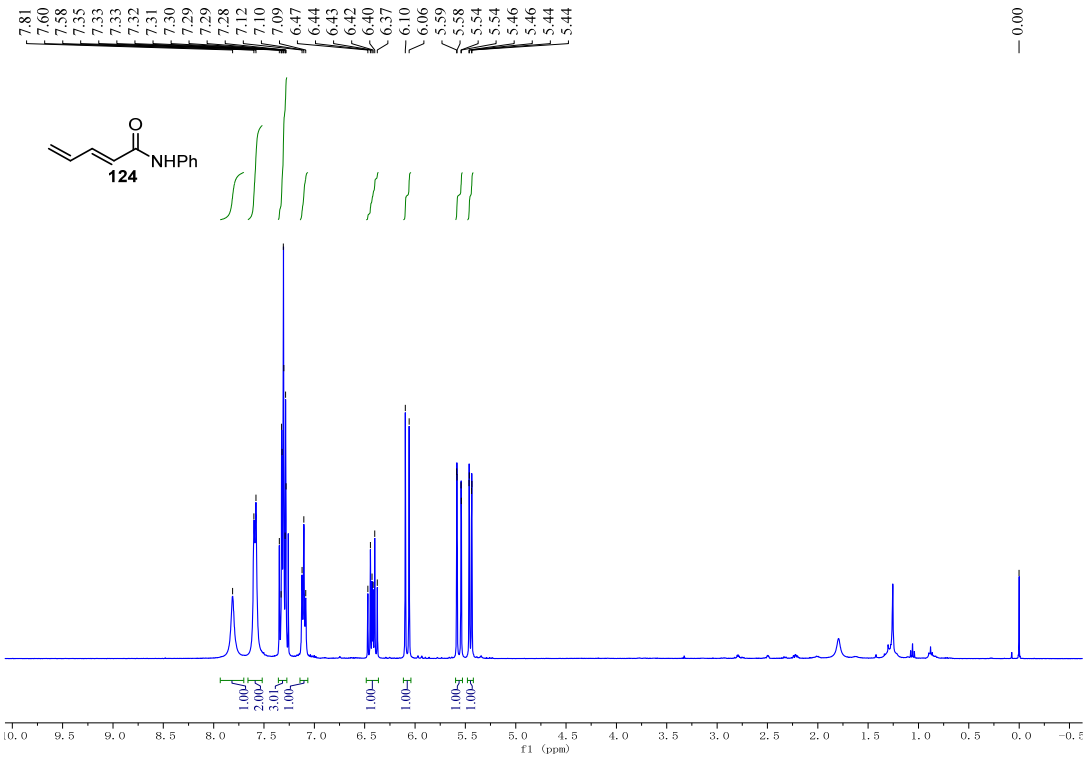
2.03
1.00
f1 (ppm)


170.68
150.32
137.60
129.34
128.93
124.24
119.65
119.44
115.04
77.32
77.00
76.68
72.14
34.79
11.38
5.74
2.63
Me
O
Ph
N
NHPh
123
f1 (ppm)


7.81
7.60
7.58
7.35
7.33
7.33
7.32
7.31
7.30
7.29
7.29
7.28
7.12
7.10
7.09
6.47
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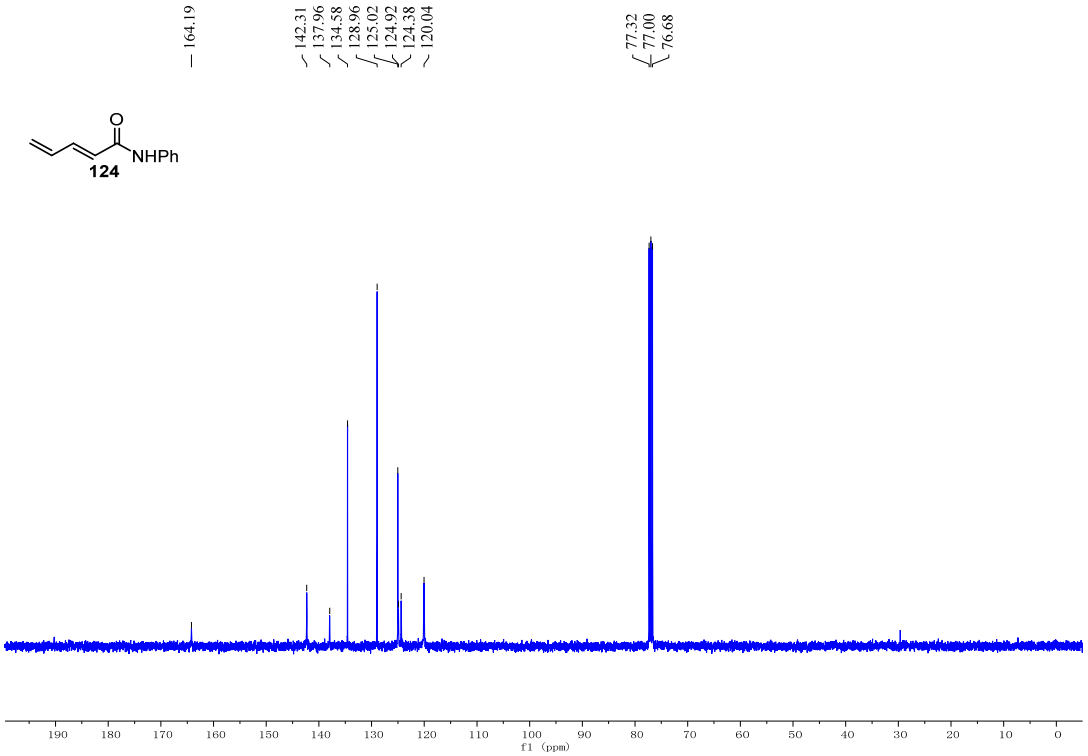
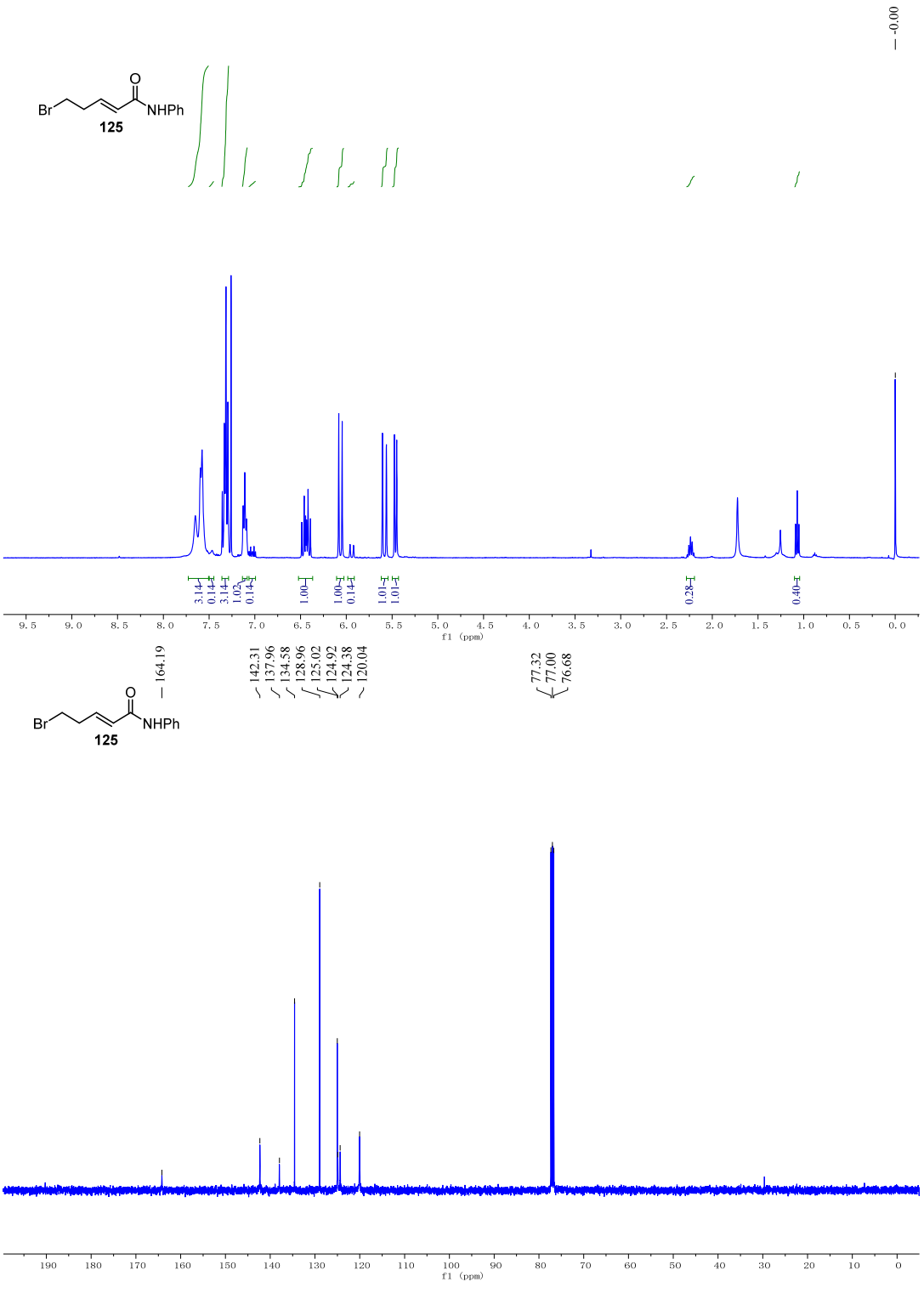
6.43
6.42
6.40
6.37
6.10
6.06
5.59
5.58
5.54
5.54
5.46
5.46
5.44
5.44
0.00
O
NHPh
124
1.00
2.00
3.01
1.00
1.00
1.00
1.00
1.00
f1 (ppm)


164.19
142.31
137.96
134.58
128.96
125.02
124.92
124.38
120.04
77.32
77.00
76.68
O
NHPh
124
f1 (ppm)


Br
O
NHPh
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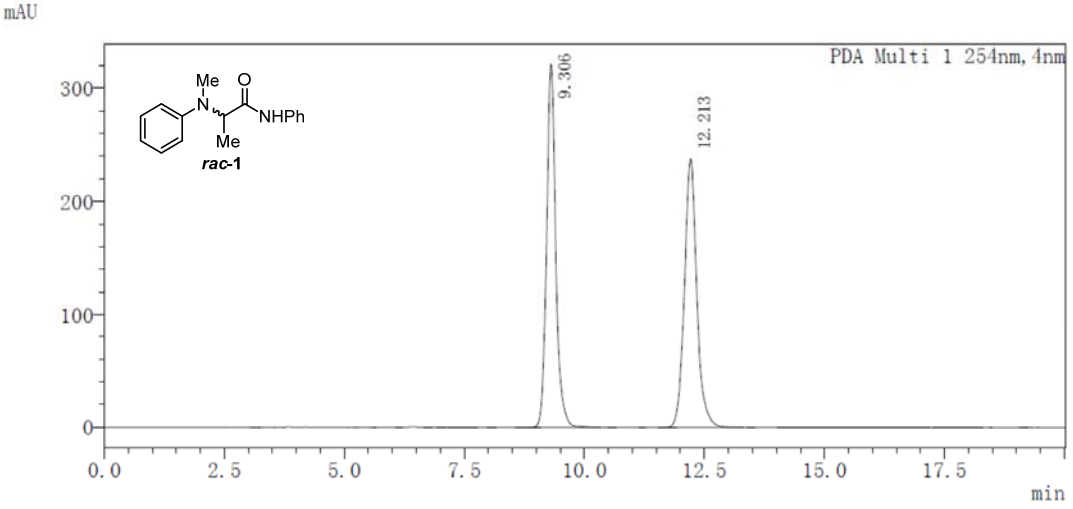
3.14
0.14
3.14
1.02
0.14
1.00
1.00
0.14
1.01
1.01
0.28
0.40
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
164.19
142.31
137.96
134.58
128.96
125.02
124.92
124.38
120.04
77.32
77.00
76.68
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

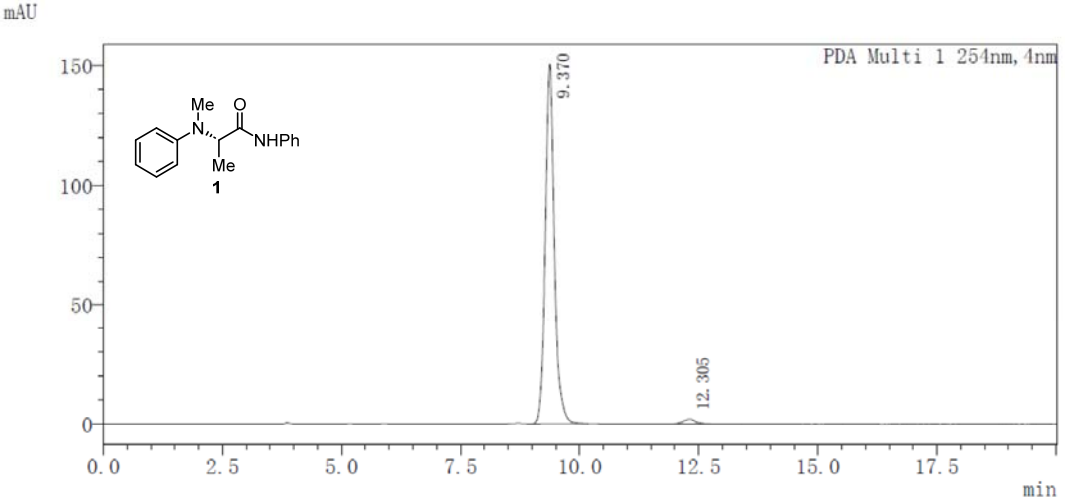
11. HPLC spectra



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.306	4265646	50.010
2	12.213	4264025	49.990

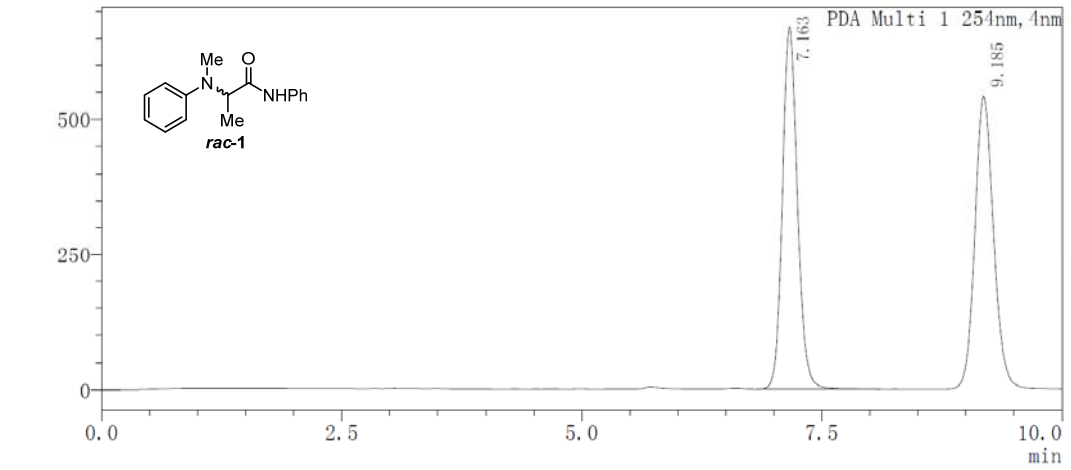


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.370	1998079	98.314
2	12.305	34273	1.686

mAU

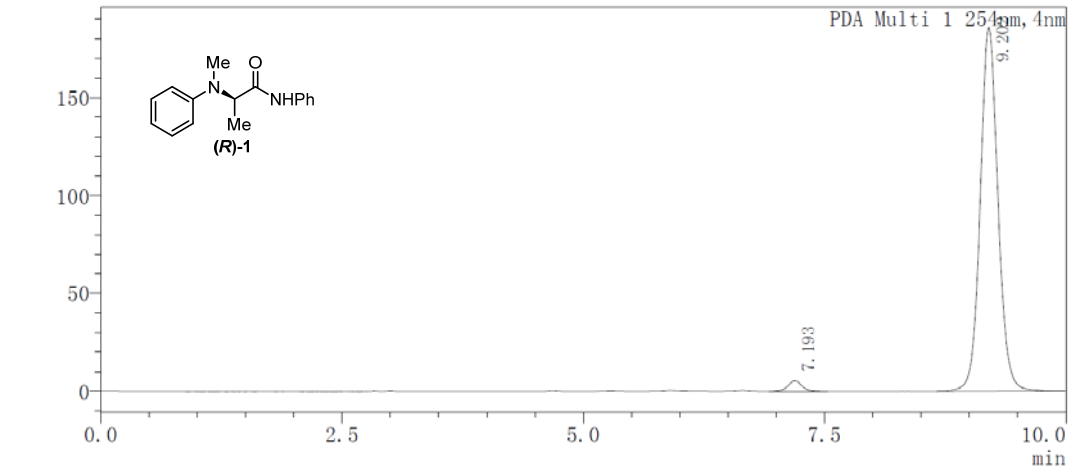


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.163	7302944	49.778
2	9.185	7368152	50.222

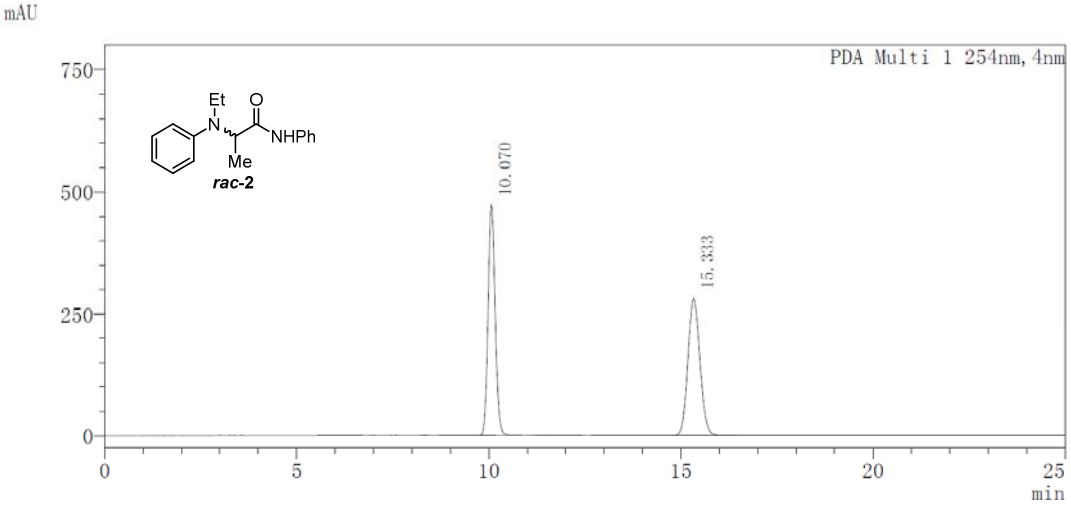
mAU



Peak Table

PDA Ch1 254nm

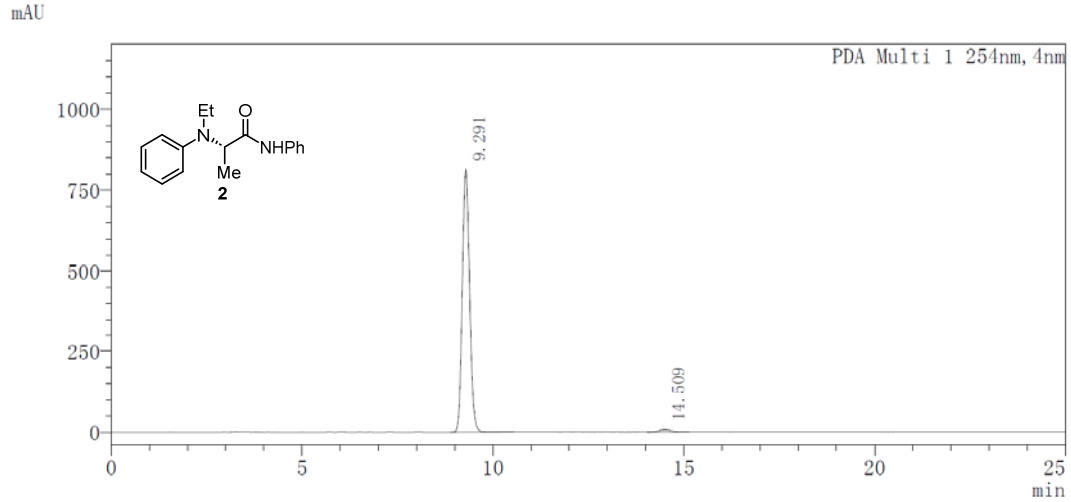
Peak#	Ret. Time	Area	Area%
1	7.193	55270	2.269
2	9.202	2380865	97.731



Peak Table

PDA Ch1 254nm

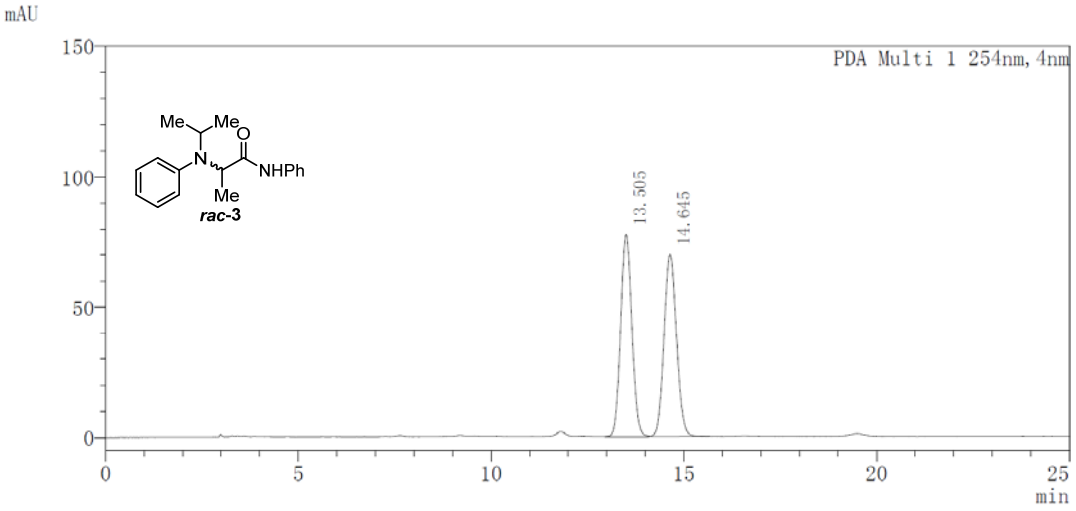
Peak#	Ret. Time	Area	Area%
1	10.070	6062281	49.852
2	15.333	6098395	50.148



Peak Table

PDA Ch1 254nm

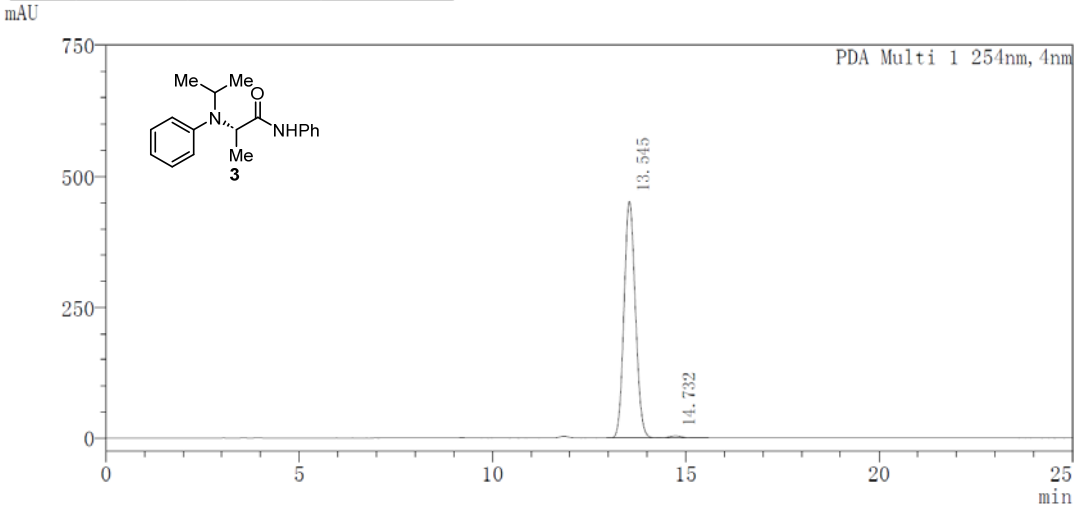
Peak#	Ret. Time	Area	Area%
1	9.291	10829776	98.430
2	14.509	172754	1.570



Peak Table

PDA Ch1 254nm

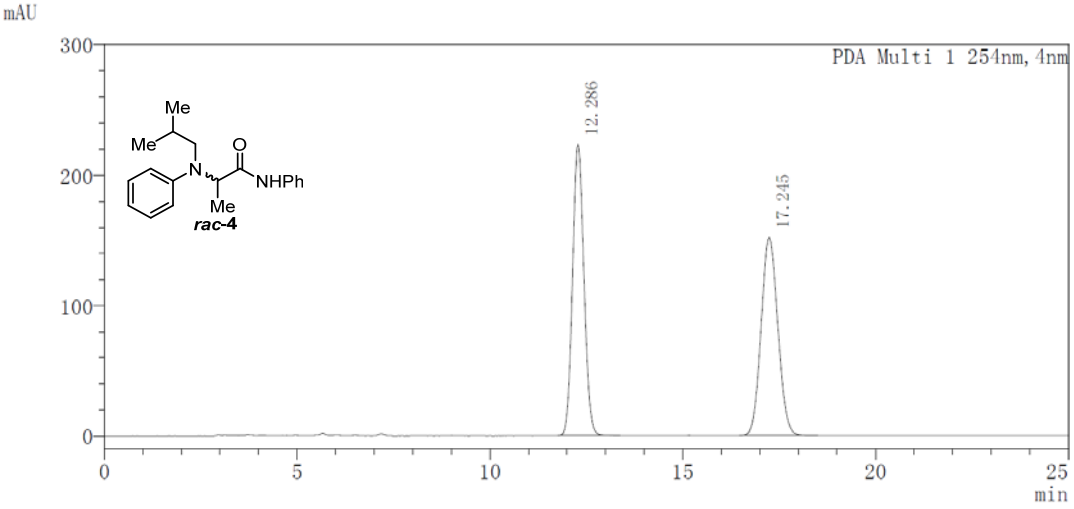
Peak#	Ret. Time	Area	Area%
1	13.505	1579746	49.950
2	14.645	1582937	50.050



Peak Table

PDA Ch1 254nm

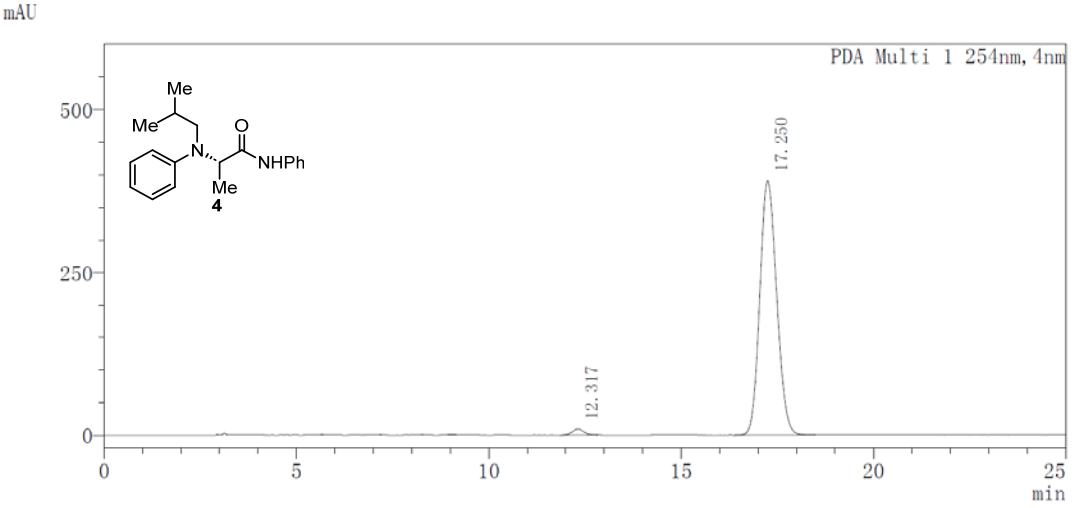
Peak#	Ret. Time	Area	Area%
1	13.545	9276405	98.912
2	14.732	102069	1.088



Peak Table

PDA Ch1 254nm

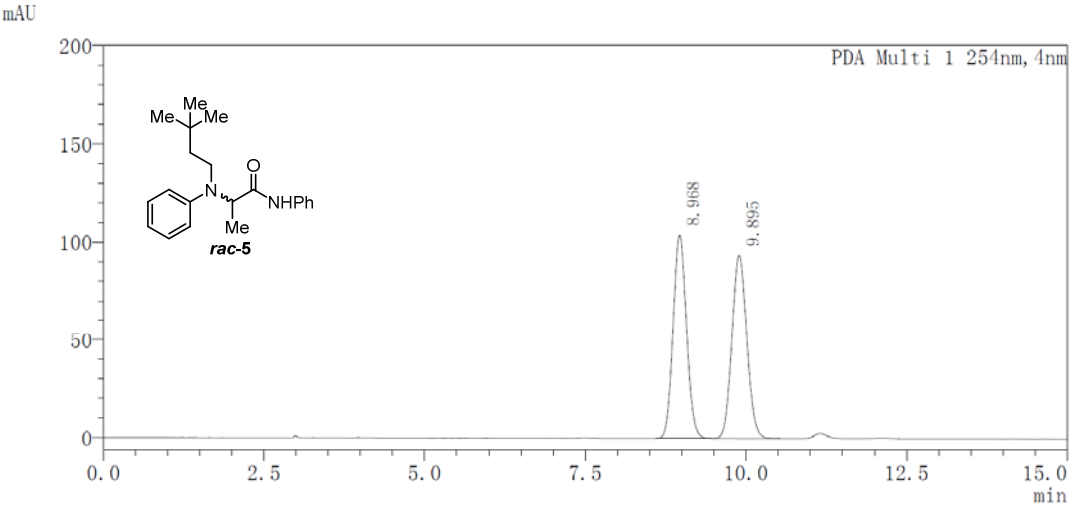
Peak#	Ret. Time	Area	Area%
1	12.286	4601573	49.948
2	17.245	4611163	50.052



Peak Table

PDA Ch1 254nm

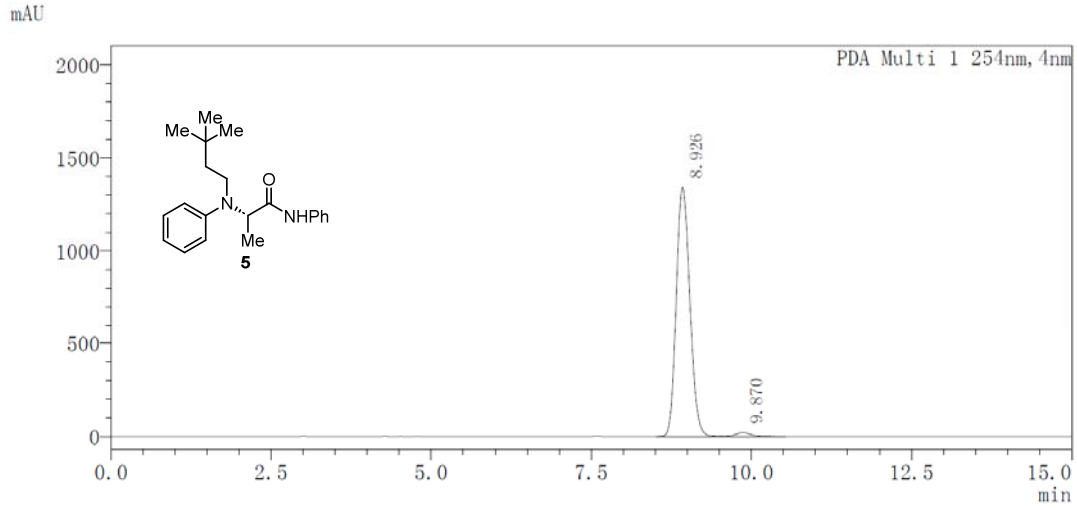
Peak#	Ret. Time	Area	Area%
1	12.317	187243	1.541
2	17.250	11959951	98.459



Peak Table

PDA Ch1 254nm

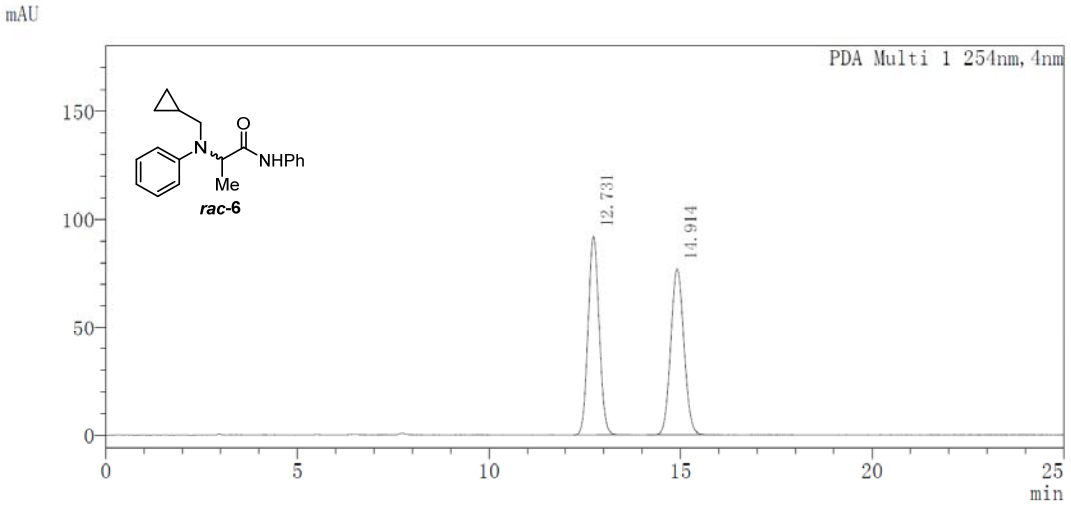
Peak#	Ret. Time	Area	Area%
1	8.968	1519561	49.982
2	9.895	1520680	50.018



Peak Table

PDA Ch1 254nm

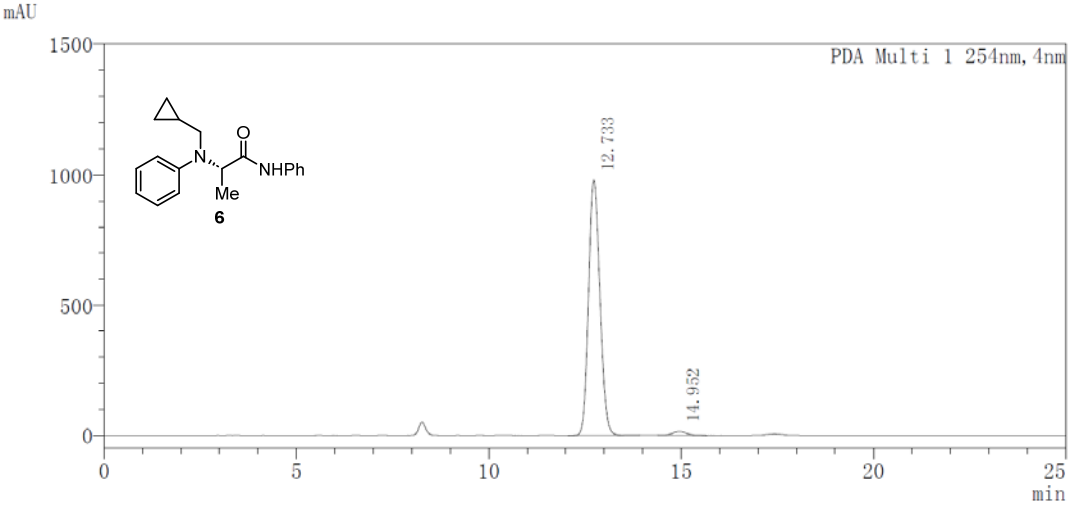
Peak#	Ret. Time	Area	Area%
1	8.926	19844274	98.325
2	9.870	338004	1.675



Peak Table

PDA Ch1 254nm

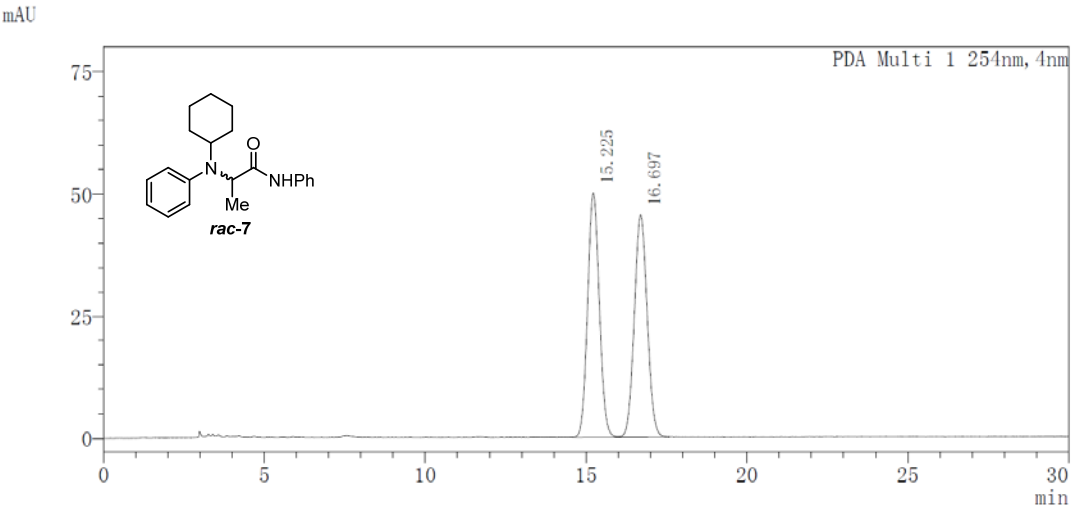
Peak#	Ret. Time	Area	Area%
1	12.731	1852188	49.935
2	14.914	1857026	50.065



Peak Table

PDA Ch1 254nm

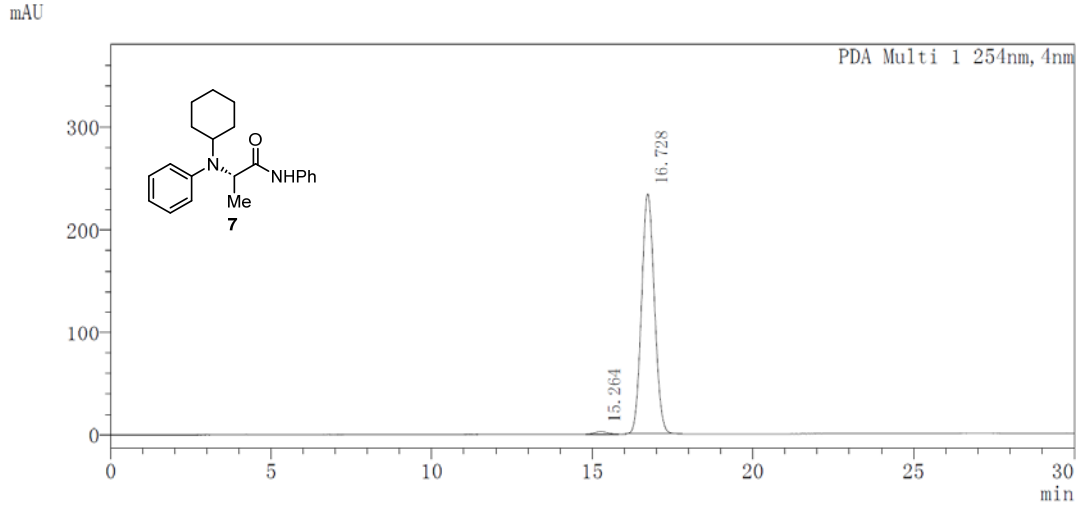
Peak#	Ret. Time	Area	Area%
1	12.733	20187810	98.257
2	14.952	358066	1.743



Peak Table

PDA Ch1 254nm

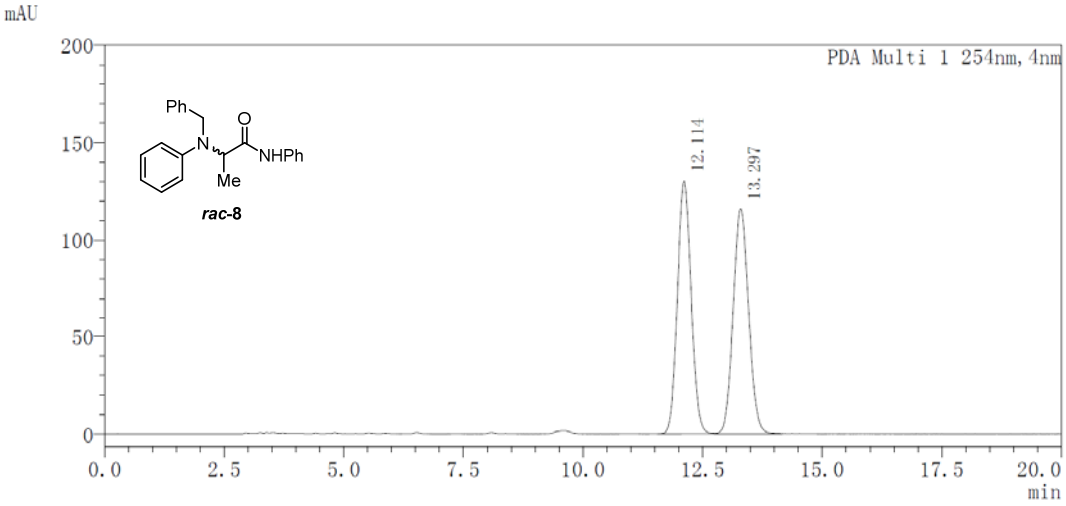
Peak#	Ret. Time	Area	Area%
1	15.225	1270447	49.934
2	16.697	1273824	50.066



Peak Table

PDA Ch1 254nm

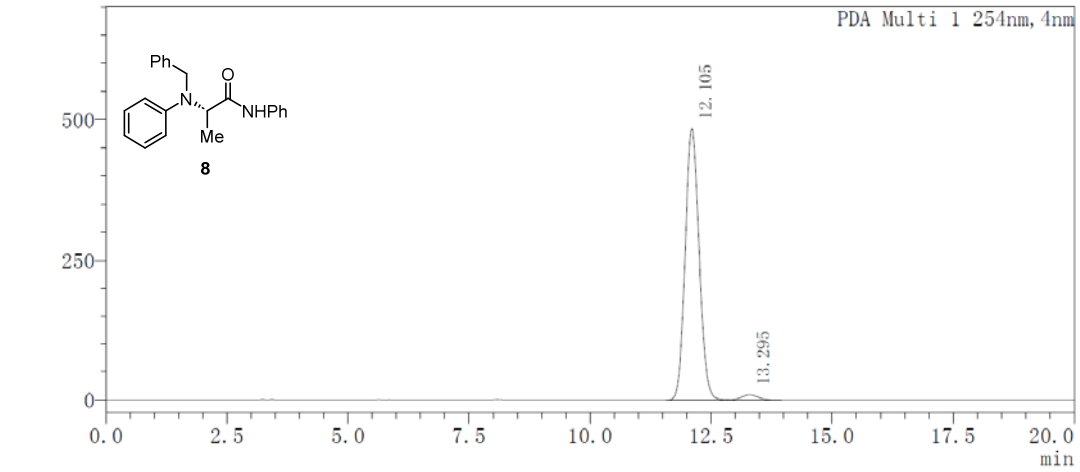
Peak#	Ret. Time	Area	Area%
1	15.264	62207	0.940
2	16.728	6553118	99.060



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.114	2619248	50.004
2	13.297	2618849	49.996

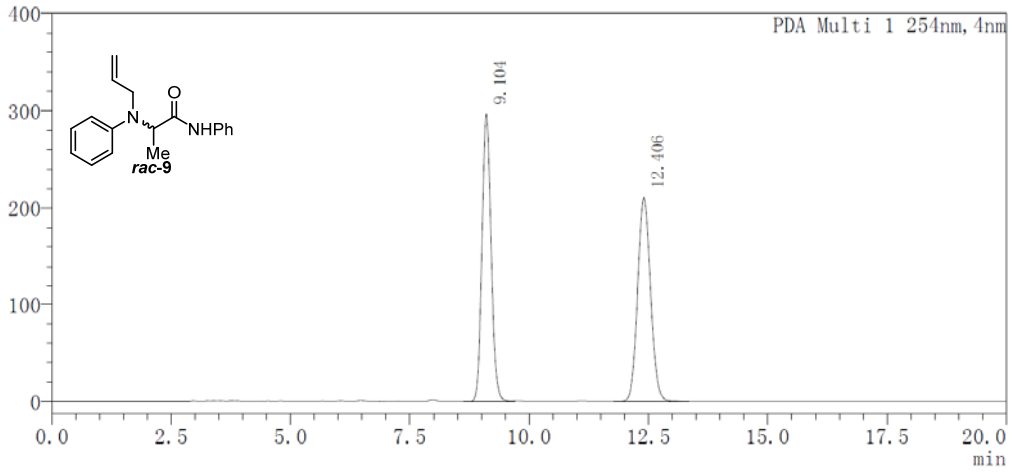


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.105	9734067	97.770
2	13.295	222068	2.230

mAU

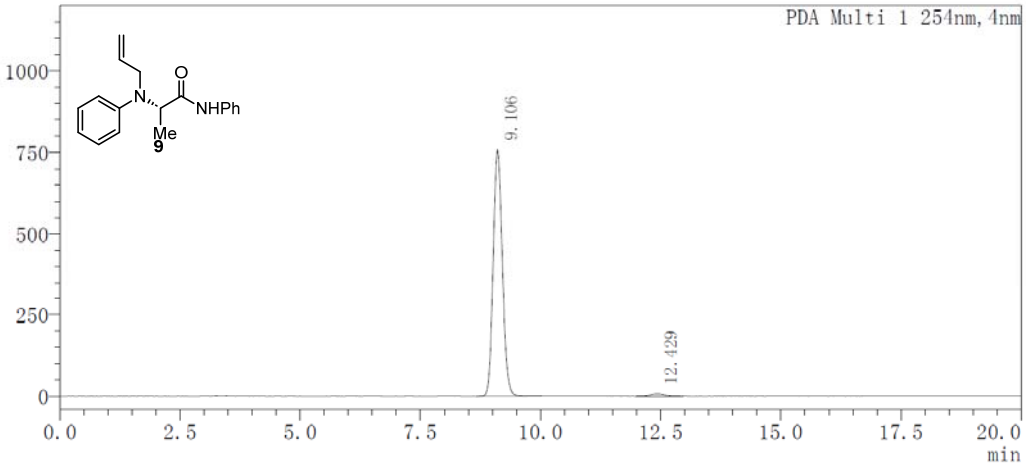


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.104	3967324	49.972
2	12.406	3971756	50.028

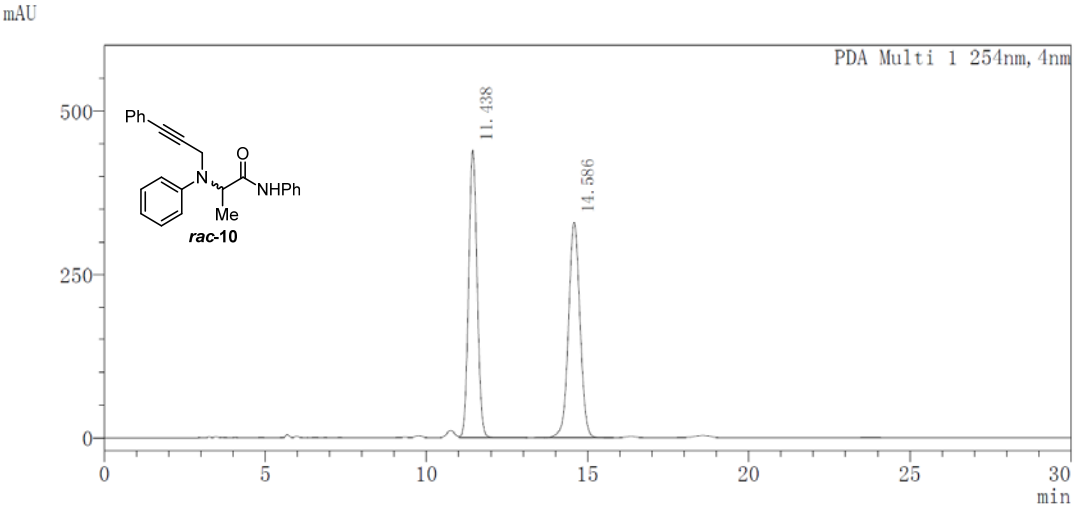
mAU



Peak Table

PDA Ch1 254nm

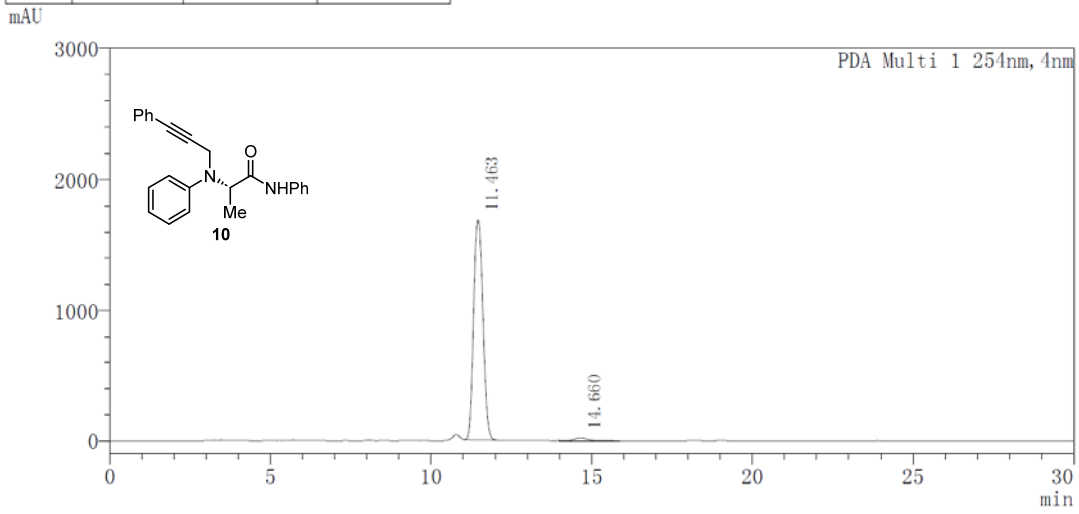
Peak#	Ret. Time	Area	Area%
1	9.106	10111451	98.501
2	12.429	153885	1.499



Peak Table

PDA Ch1 254nm

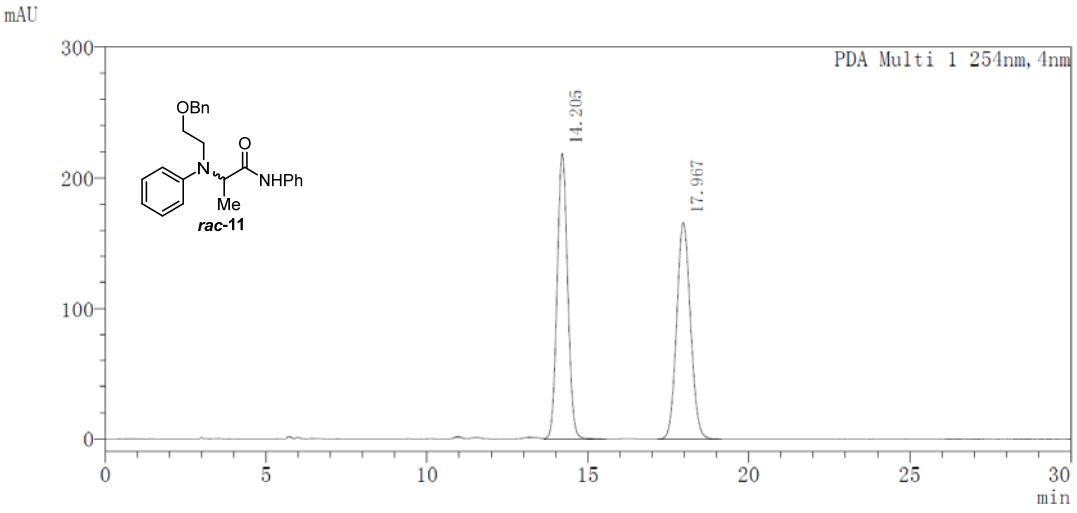
Peak#	Ret. Time	Area	Area%
1	11.438	8109151	49.697
2	14.586	8207978	50.303



Peak Table

PDA Ch1 254nm

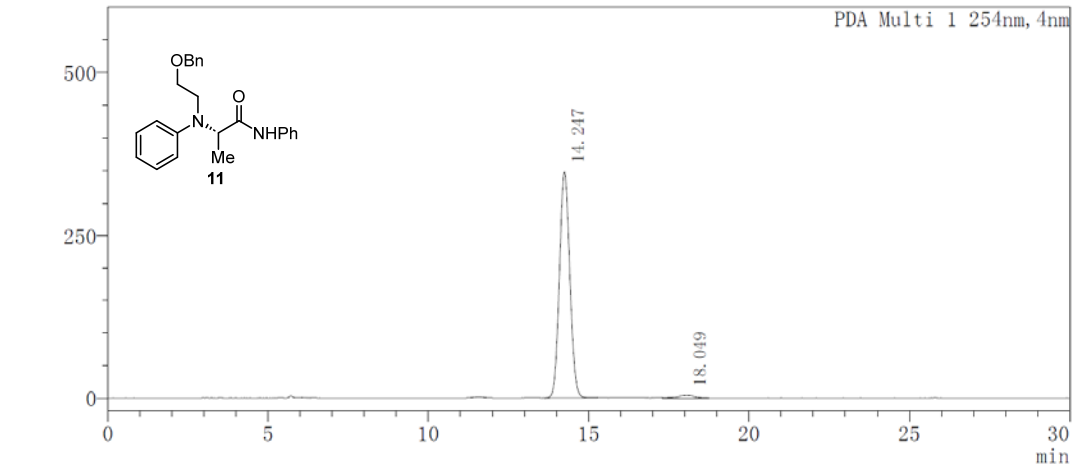
Peak#	Ret. Time	Area	Area%
1	11.463	34236431	98.431
2	14.660	545861	1.569



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.205	4962851	50.024
2	17.967	4958102	49.976

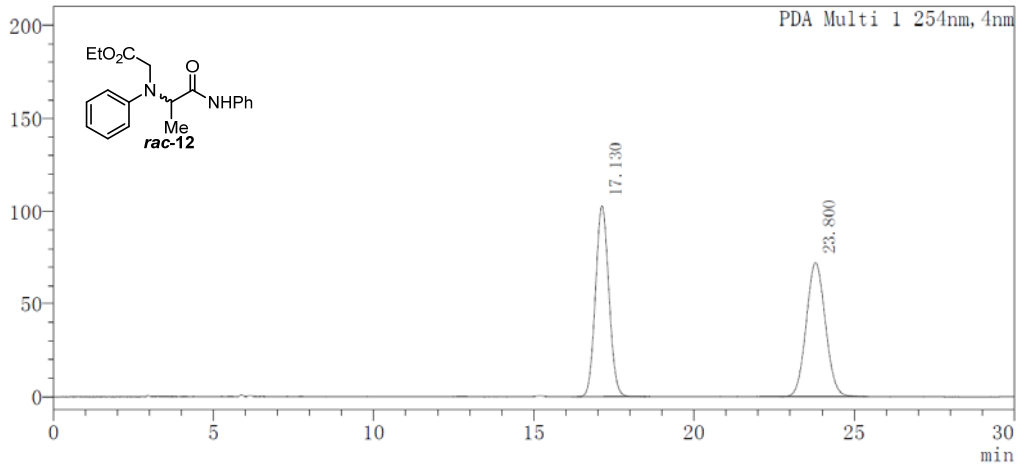


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.247	7834121	98.240
2	18.049	140343	1.760

mAU

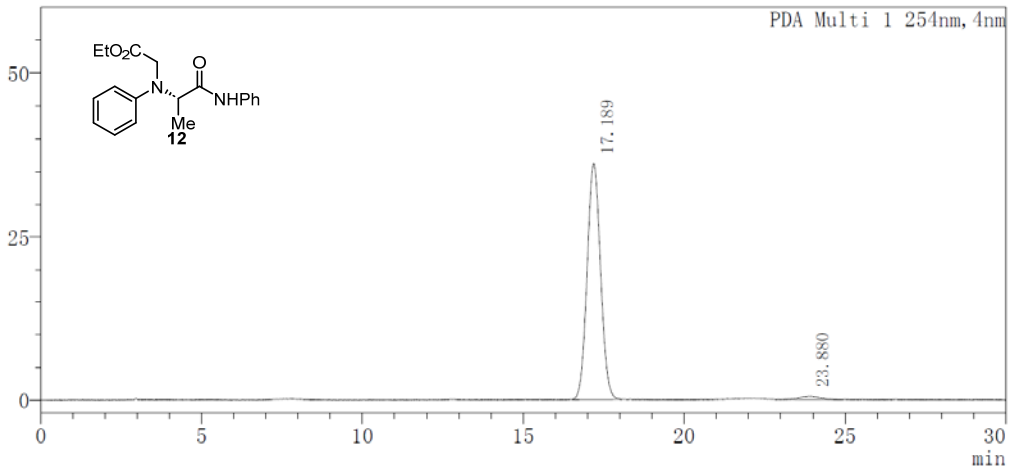


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	17.130	3016540	49.956
2	23.800	3021830	50.044

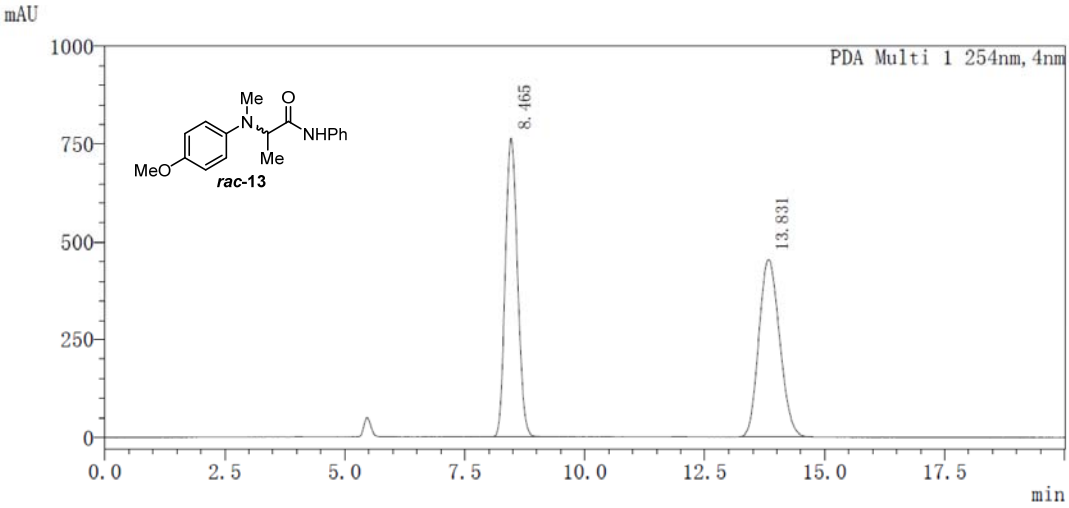
mAU



Peak Table

PDA Ch1 254nm

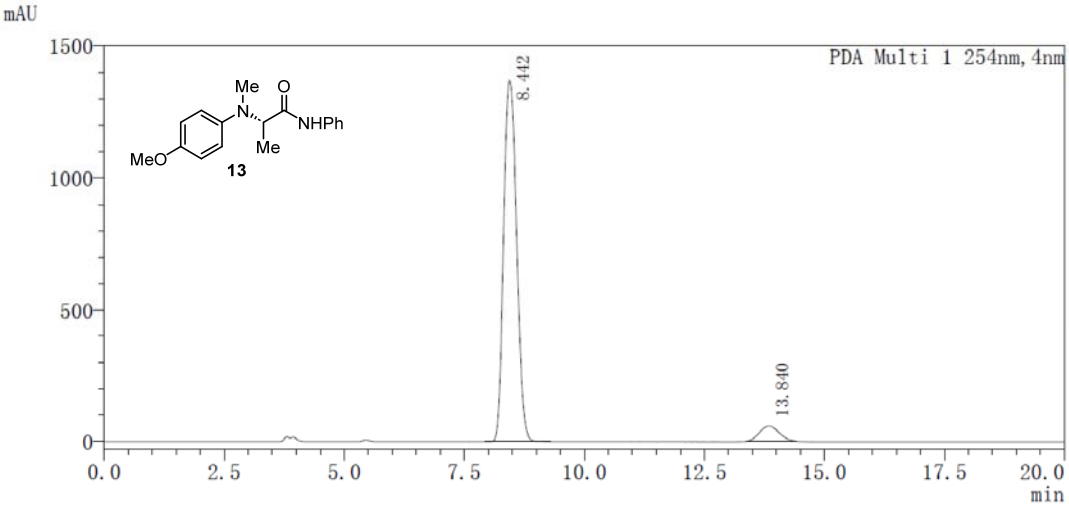
Peak#	Ret. Time	Area	Area%
1	17.189	1057410	98.151
2	23.880	19924	1.849



Peak Table

PDA Ch1 254nm

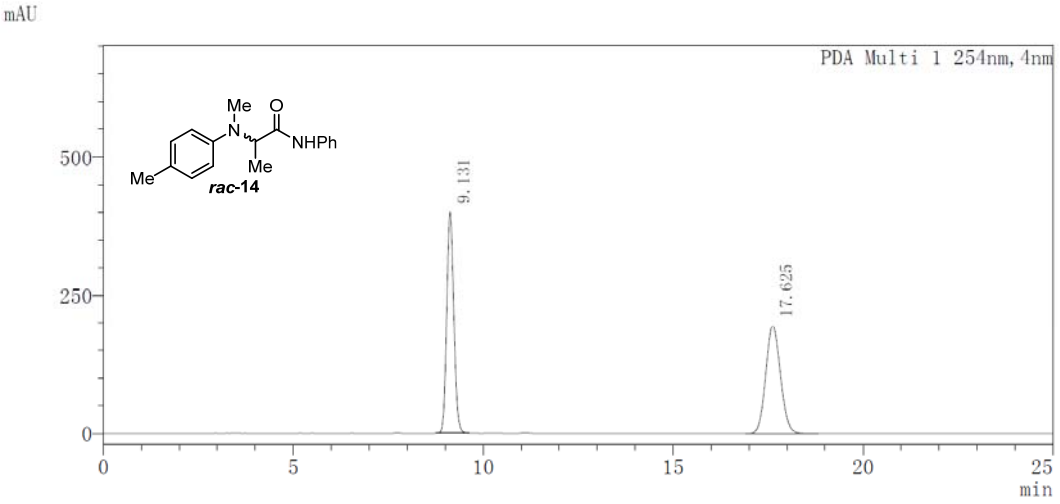
Peak#	Ret. Time	Area	Area%
1	8.465	13464507	49.658
2	13.831	13650183	50.342



Peak Table

PDA Ch1 254nm

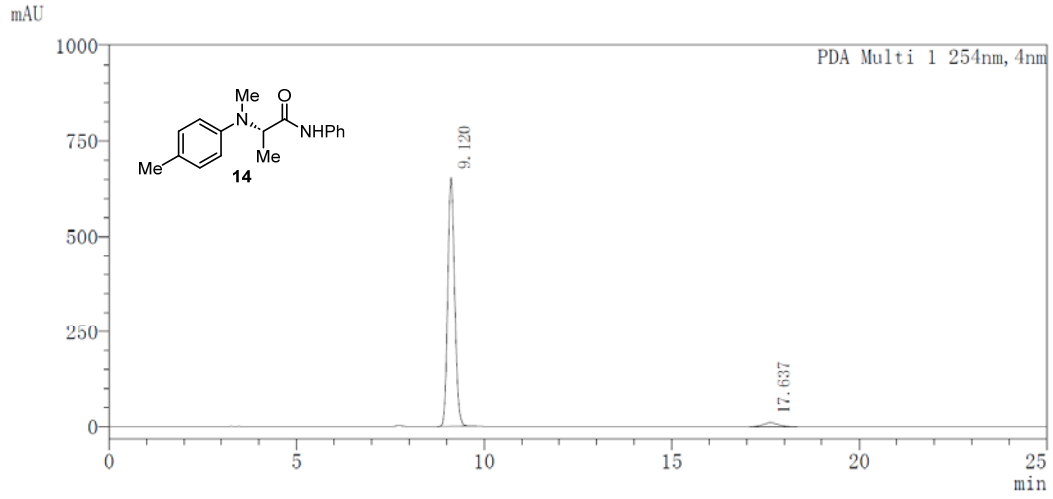
Peak#	Ret. Time	Area	Area%
1	8.442	25756989	93.926
2	13.840	1665605	6.074



Peak Table

PDA Ch1 254nm

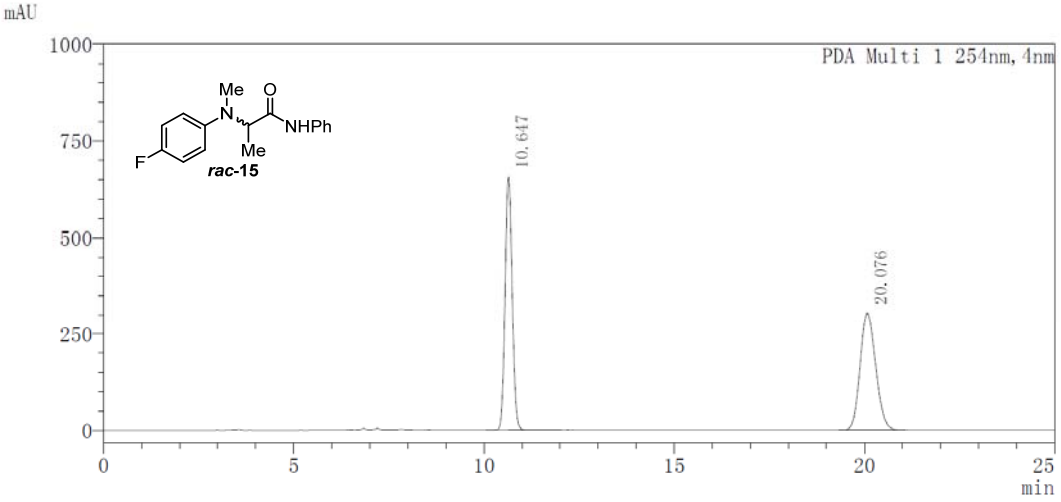
Peak#	Ret. Time	Area	Area%
1	9.131	5179980	49.732
2	17.625	5235870	50.268



Peak Table

PDA Ch1 254nm

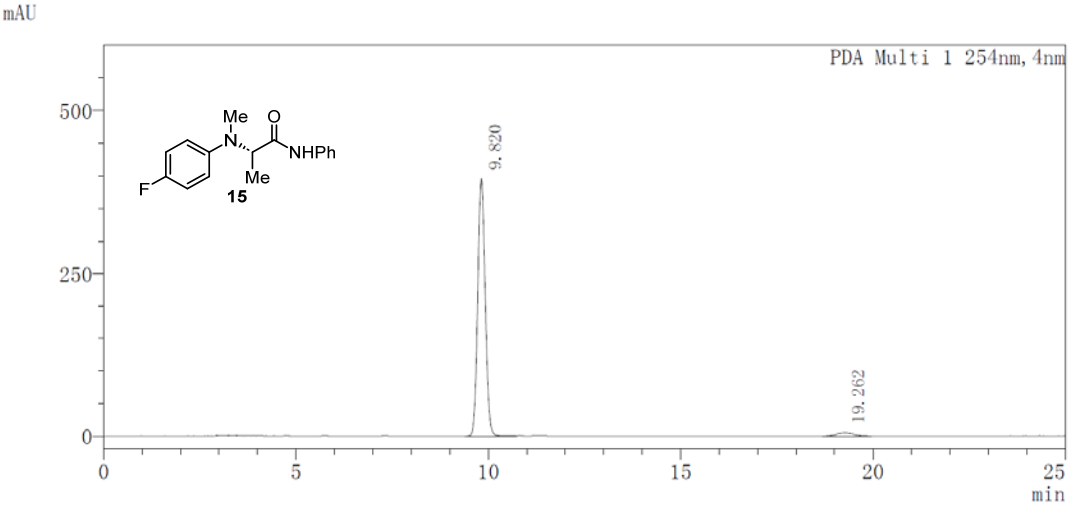
Peak#	Ret. Time	Area	Area%
1	9.120	8391910	96.883
2	17.637	269974	3.117



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.647	8581532	49.682
2	20.076	8691263	50.318

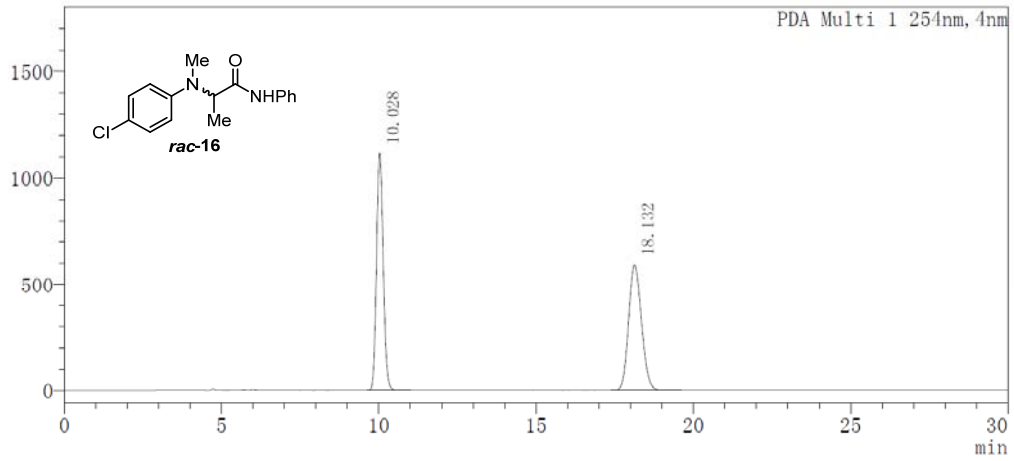


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.820	5389063	97.332
2	19.262	147740	2.668

mAU

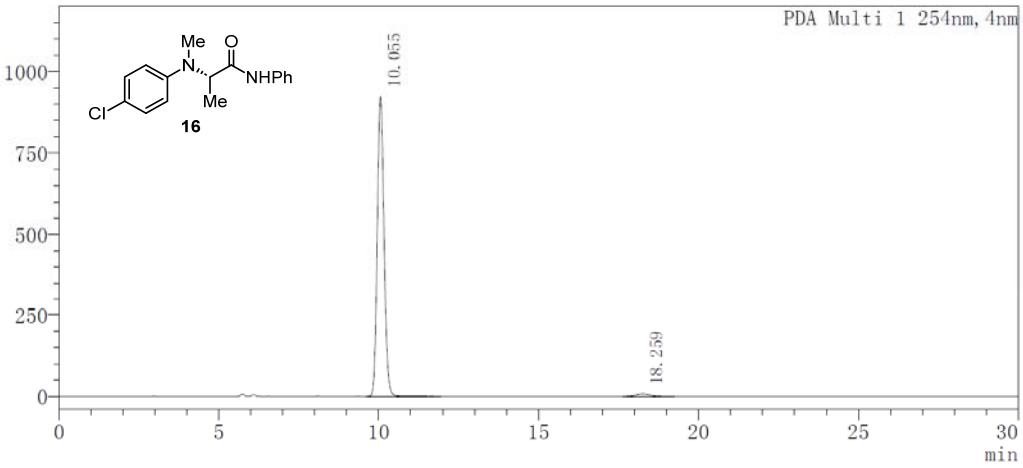


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.028	16542704	49.601
2	18.132	16808619	50.399

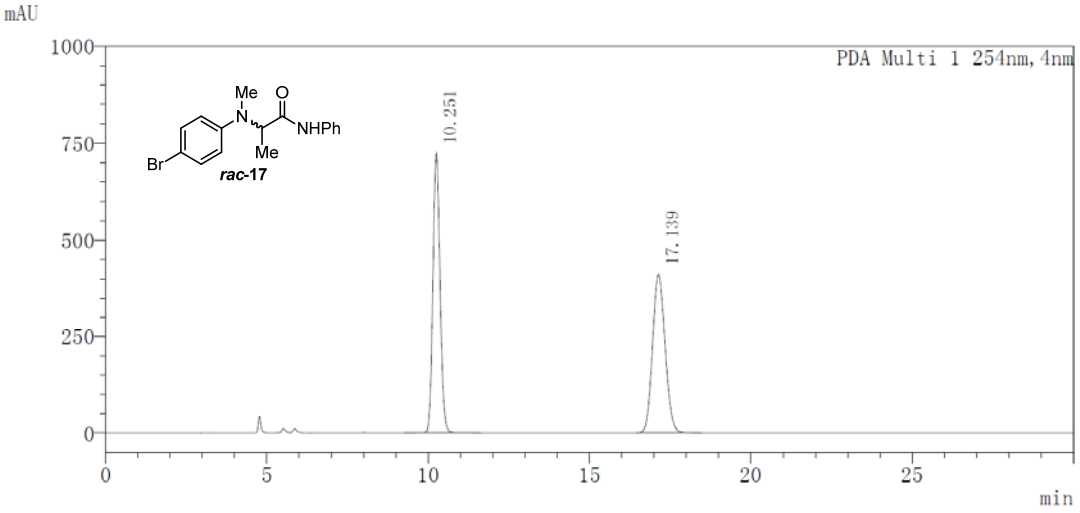
mAU



Peak Table

PDA Ch1 254nm

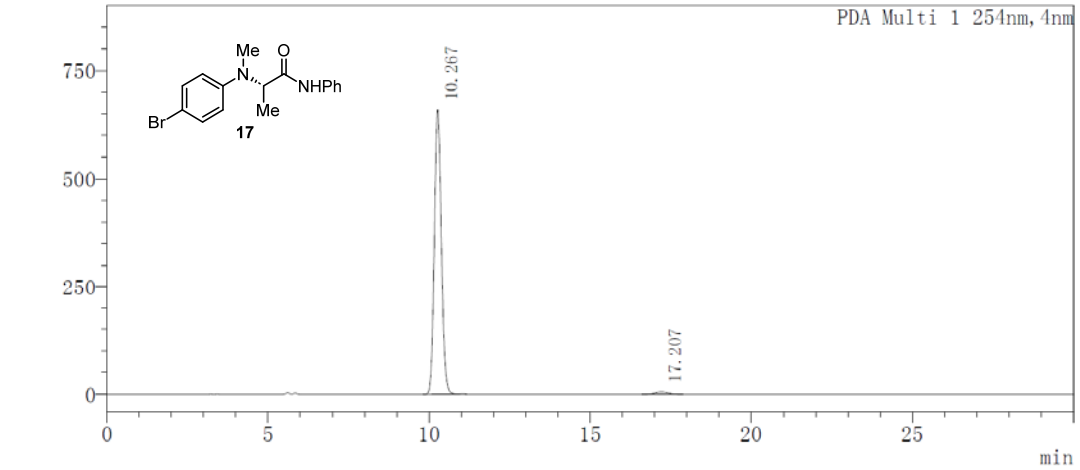
Peak#	Ret. Time	Area	Area%
1	10.055	13528582	98.393
2	18.259	220997	1.607



Peak Table

PDA Ch1 254nm

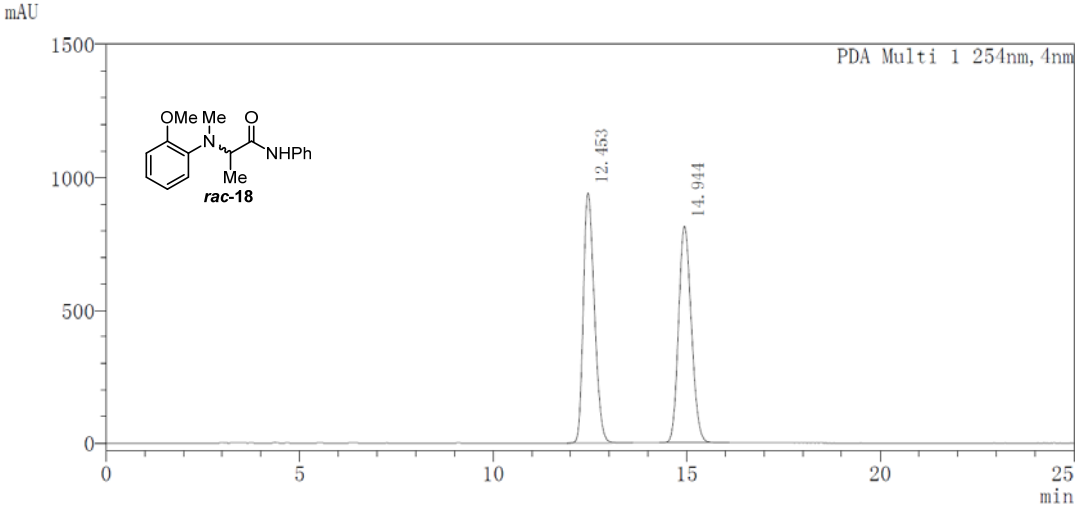
Peak#	Ret. Time	Area	Area%
1	10.251	11114164	49.923
2	17.139	11148283	50.077



Peak Table

PDA Ch1 254nm

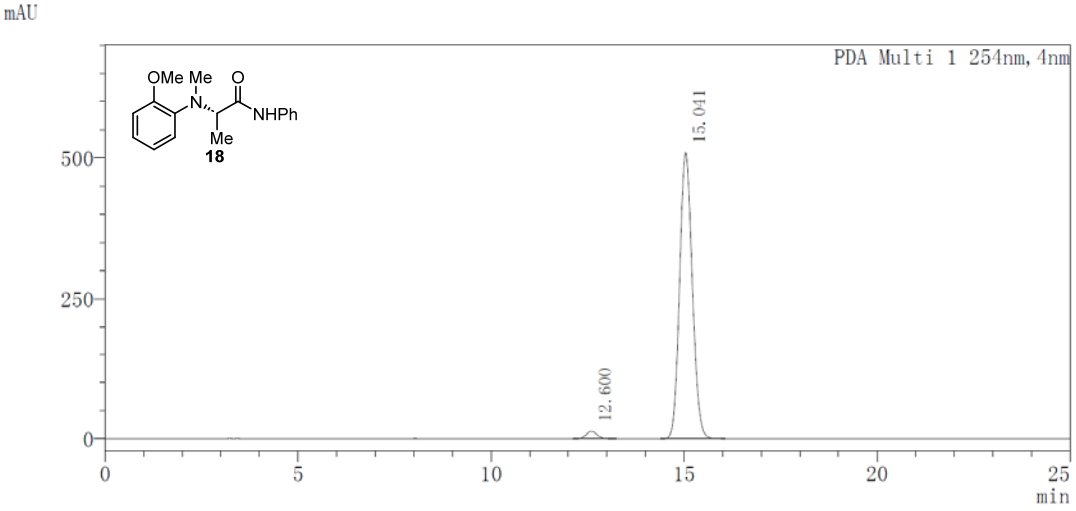
Peak#	Ret. Time	Area	Area%
1	10.267	10002093	98.541
2	17.207	148050	1.459



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.453	18806980	49.898
2	14.944	18883761	50.102

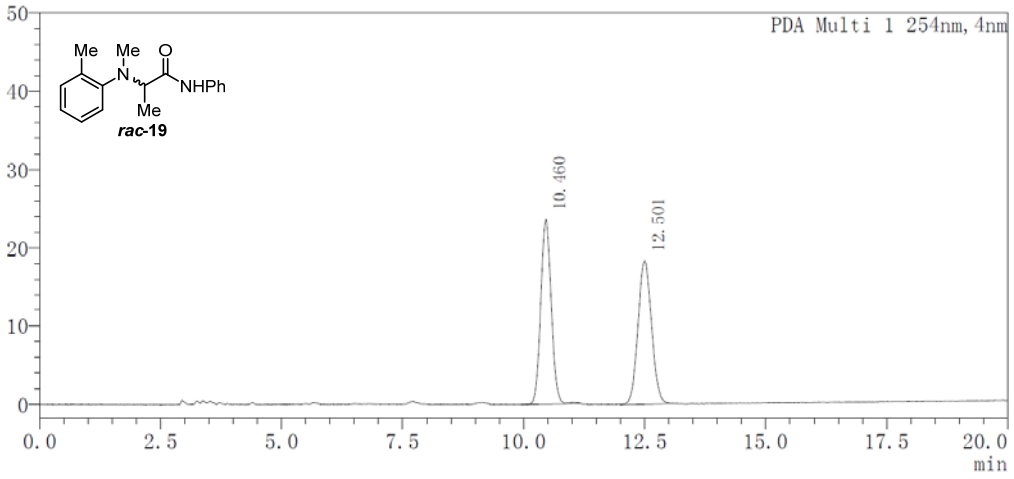


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.600	262611	2.198
2	15.041	11686542	97.802

mAU

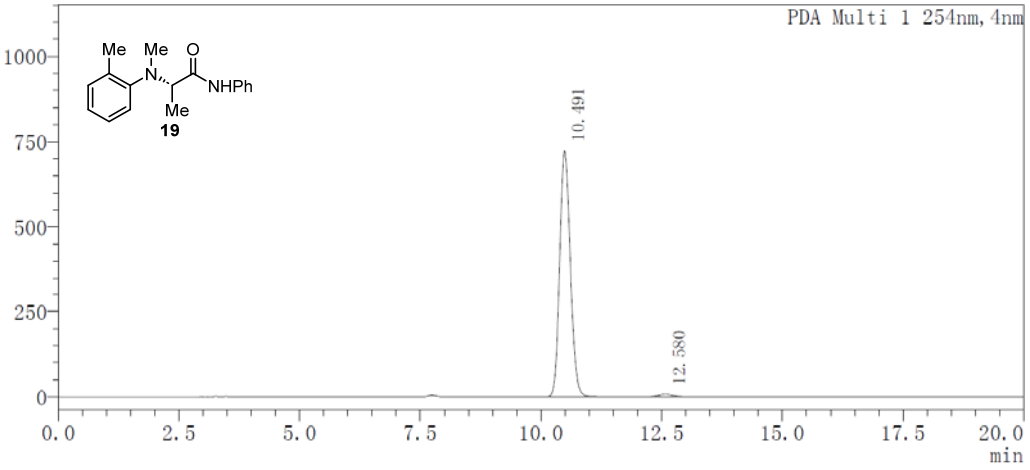


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.460	358223	49.906
2	12.501	359575	50.094

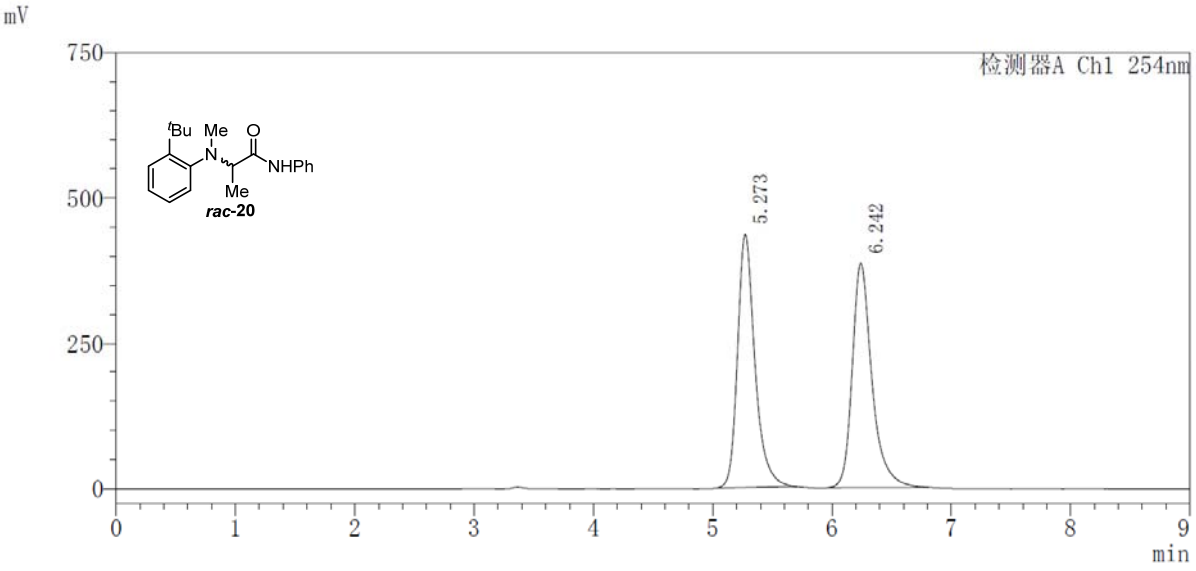
mAU



Peak Table

PDA Ch1 254nm

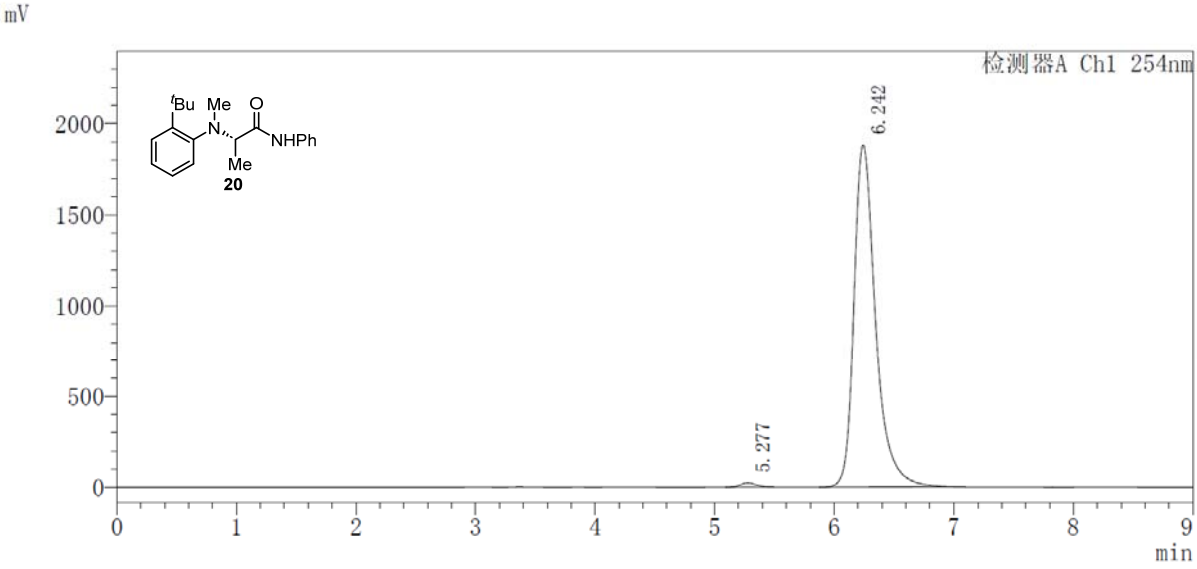
Peak#	Ret. Time	Area	Area%
1	10.491	11165273	98.821
2	12.580	133190	1.179



Peak Table

检测器A Ch1 254nm

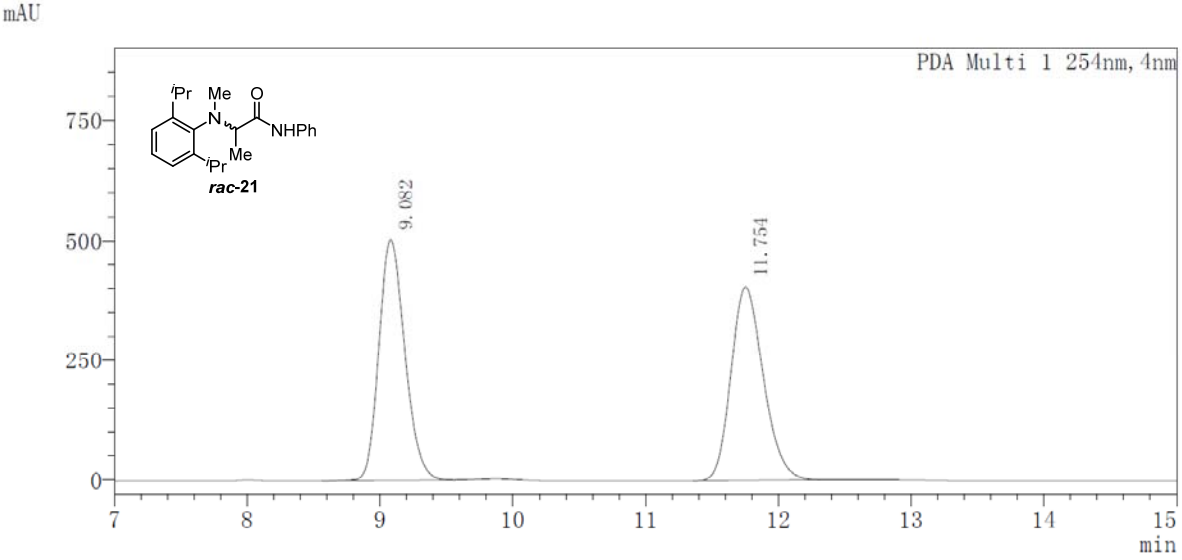
Peak#	Ret. Time	Area	Area%
1	5.273	4410693	49.816
2	6.242	4443344	50.184



Peak Table

检测器A Ch1 254nm

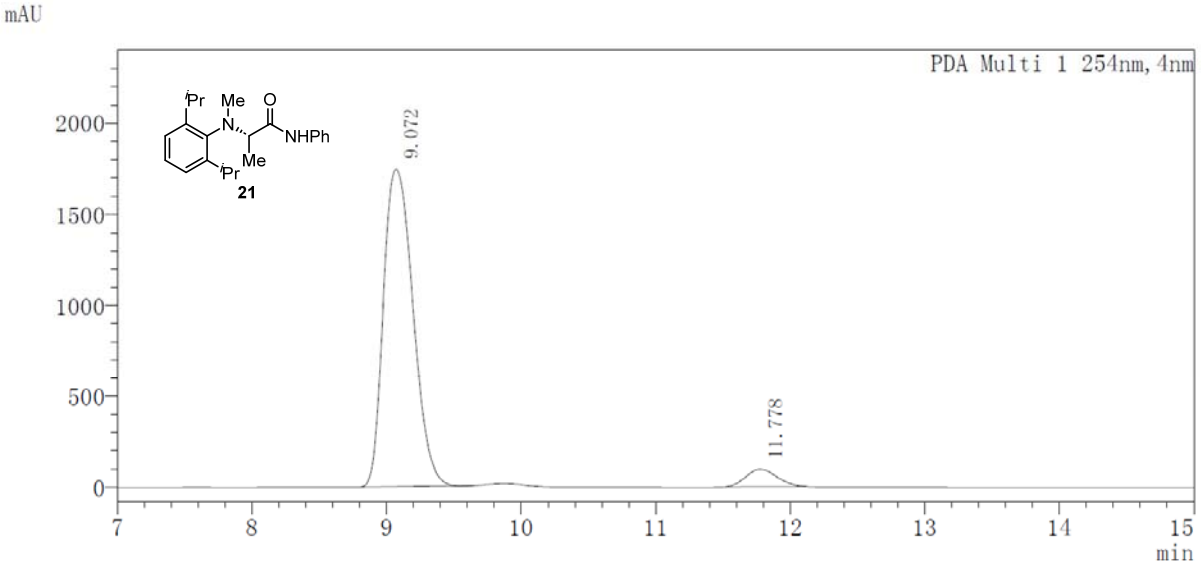
Peak#	Ret. Time	Area	Area%
1	5.277	216216	0.904
2	6.242	23714554	99.096



Peak Table

PDA Ch1 254nm

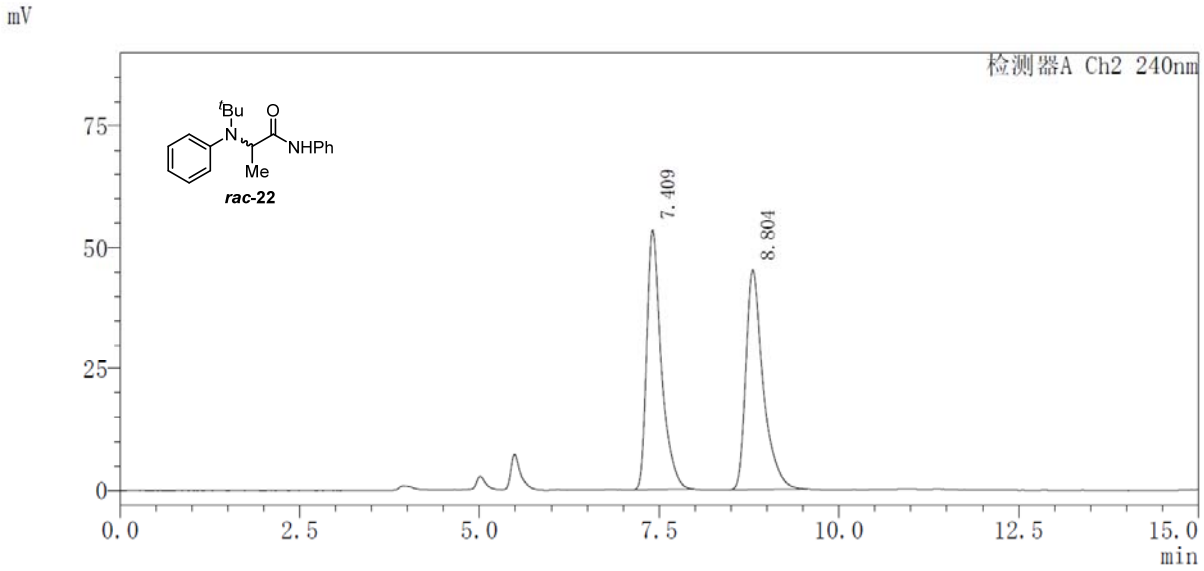
Peak#	Ret. Time	Area	Area%
1	9.082	6825565	49.969
2	11.754	6834073	50.031



Peak Table

PDA Ch1 254nm

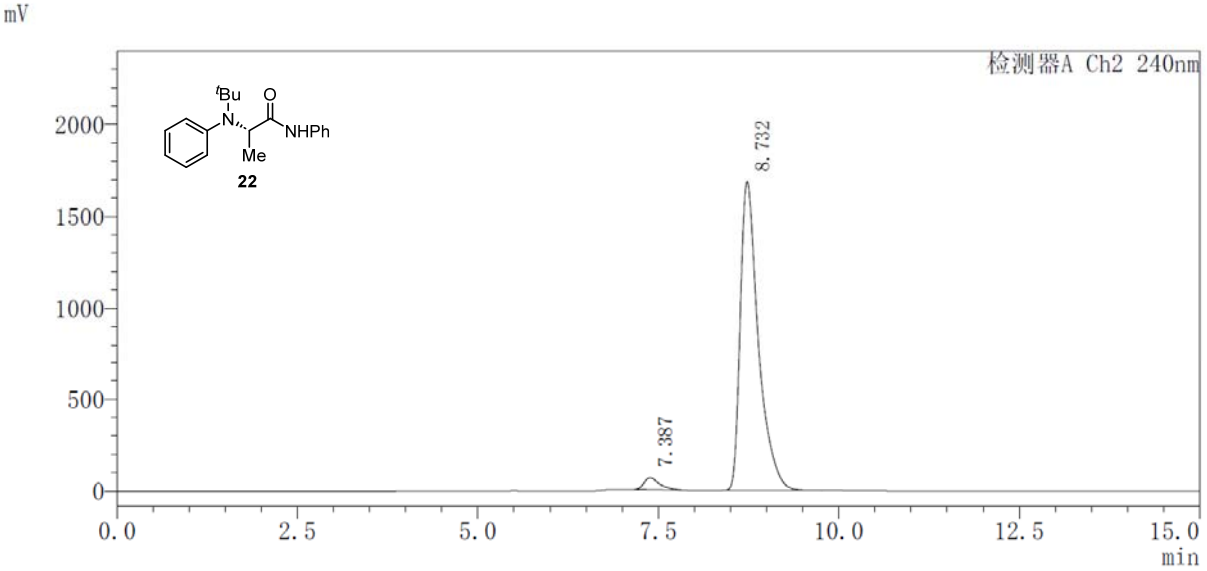
Peak#	Ret. Time	Area	Area%
1	9.072	26662601	94.611
2	11.778	1518735	5.389



Peak Table

检测器A Ch2 240nm

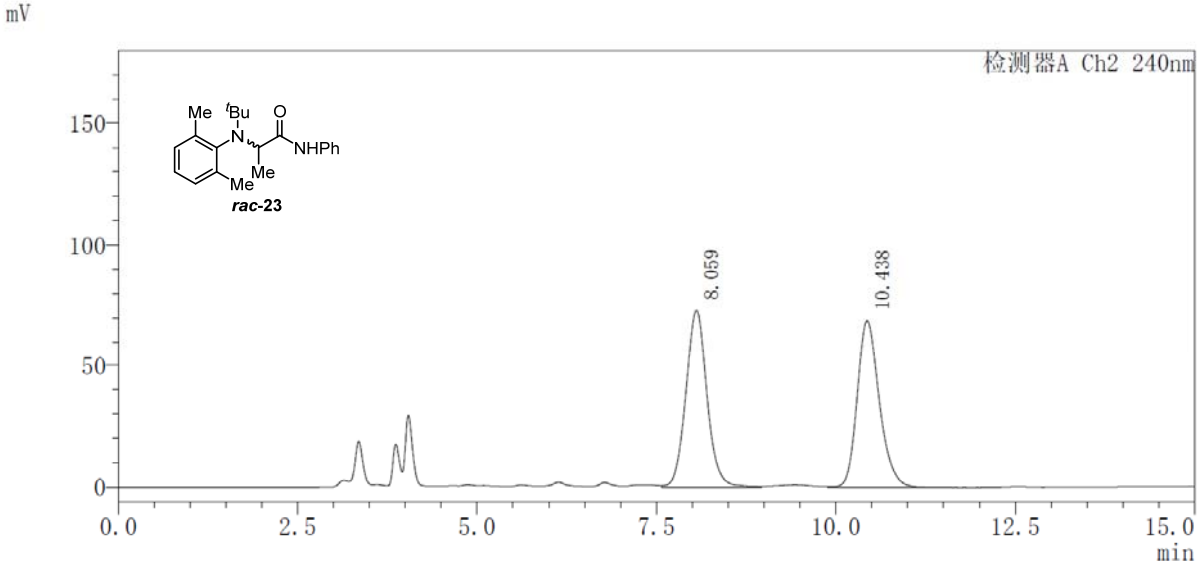
Peak#	Ret. Time	Area	Area%
1	7.409	754937	49.859
2	8.804	759203	50.141



Peak Table

检测器A Ch2 240nm

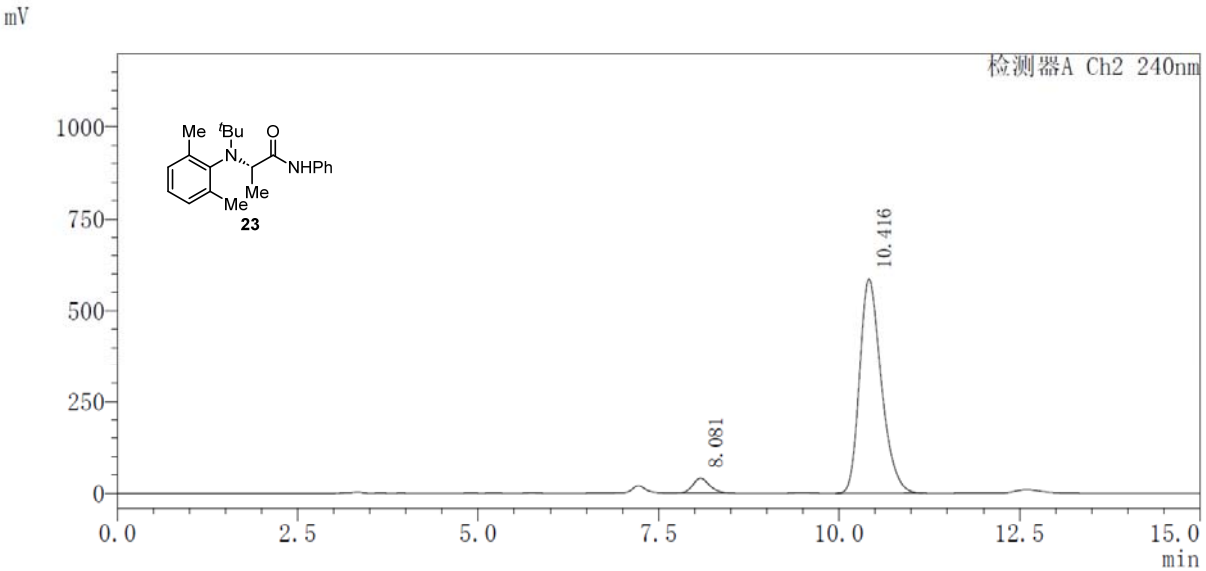
Peak#	Ret. Time	Area	Area%
1	7.387	1014543	3.333
2	8.732	29423355	96.667



Peak Table

检测器A Ch2 240nm

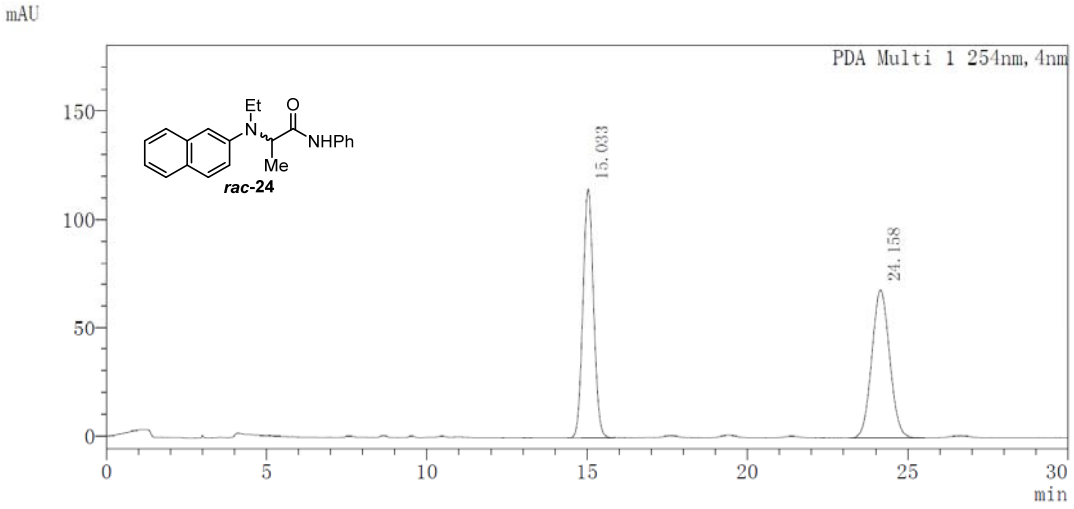
Peak#	Ret. Time	Area	Area%
1	8.059	1495854	50.420
2	10.438	1470962	49.580



Peak Table

检测器A Ch2 240nm

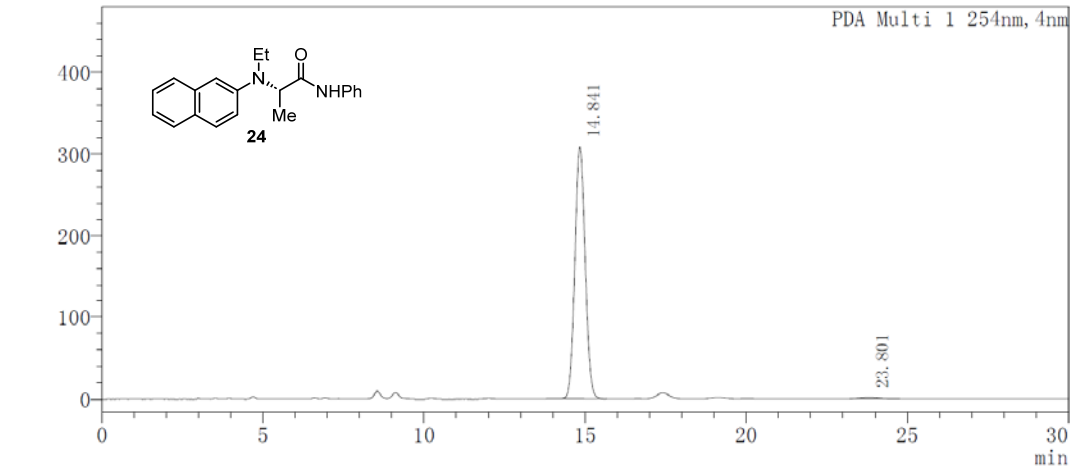
Peak#	Ret. Time	Area	Area%
1	8.081	628082	4.876
2	10.416	12254028	95.124



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.033	2620395	50.010
2	24.158	2619362	49.990

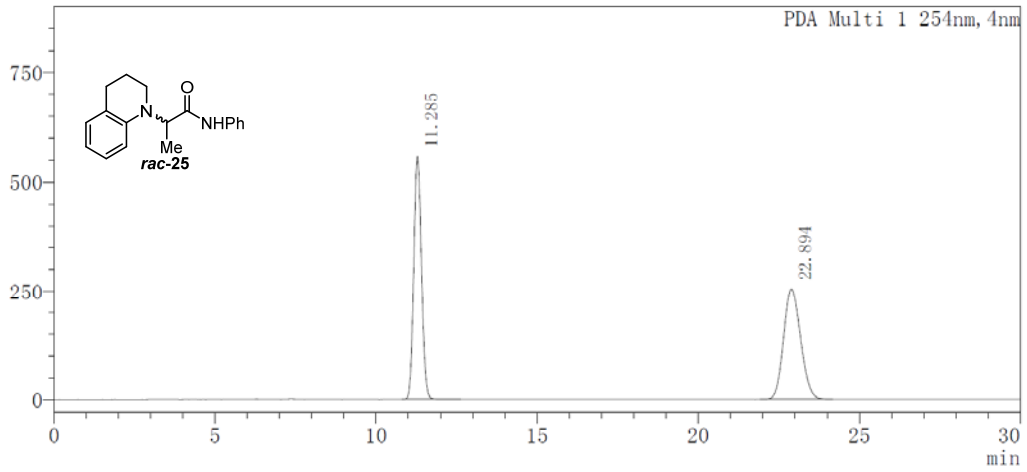


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.841	6860444	99.110
2	23.801	61581	0.890

mAU

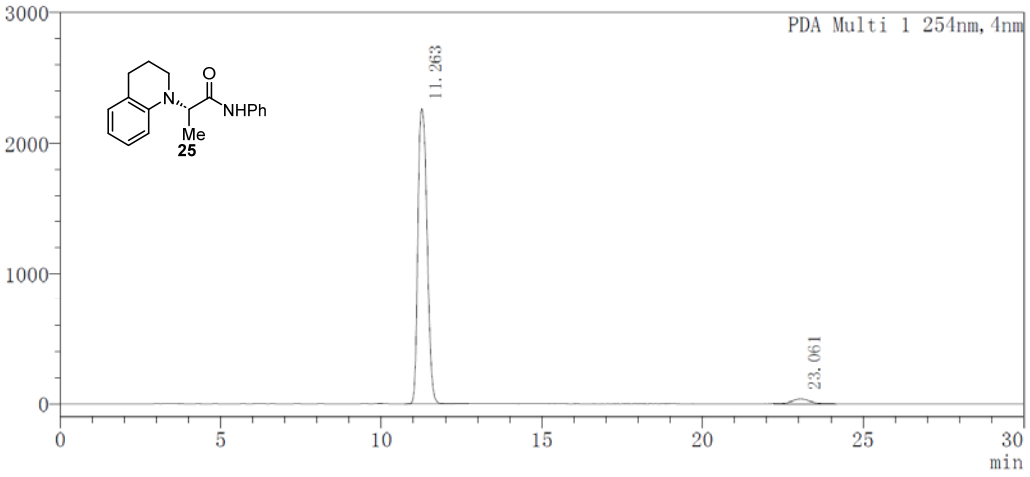


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.285	9324991	49.824
2	22.894	9390738	50.176

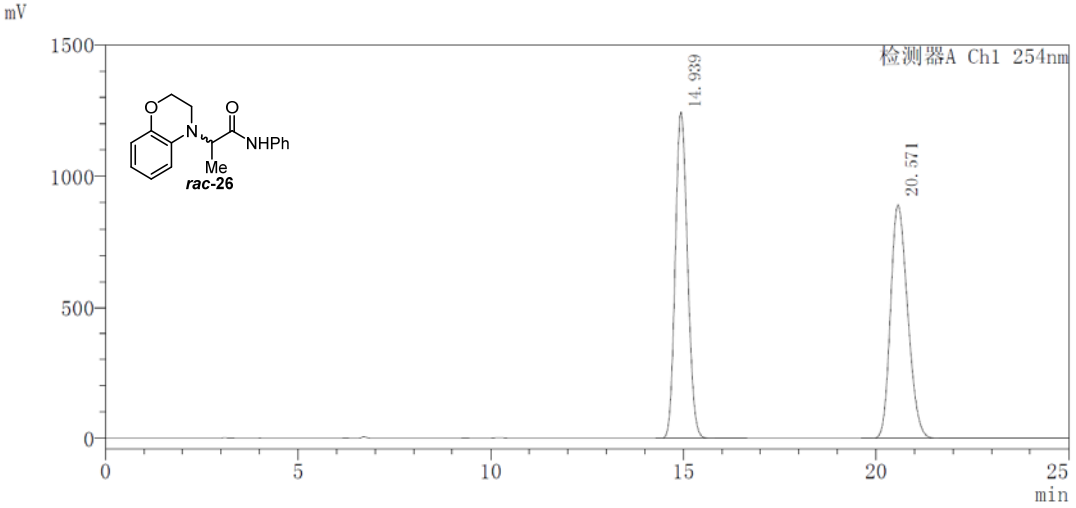
mAU



Peak Table

PDA Ch1 254nm

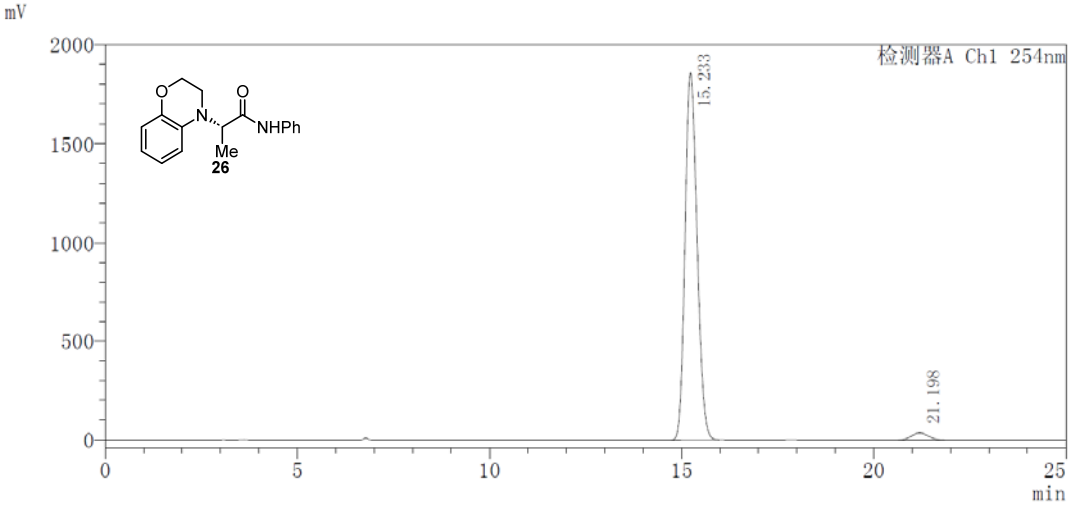
Peak#	Ret. Time	Area	Area%
1	11.263	45023910	97.039
2	23.061	1374000	2.961



Peak Table

检测器A Ch1 254nm

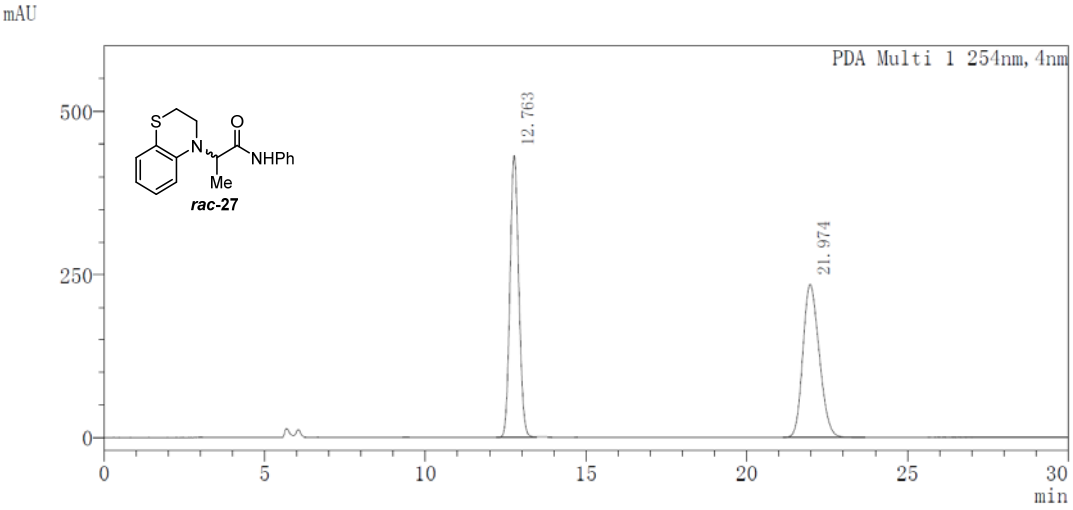
Peak#	Ret. Time	Area	Area%
1	14.939	27475857	49.884
2	20.571	27604103	50.116



Peak Table

检测器A Ch1 254nm

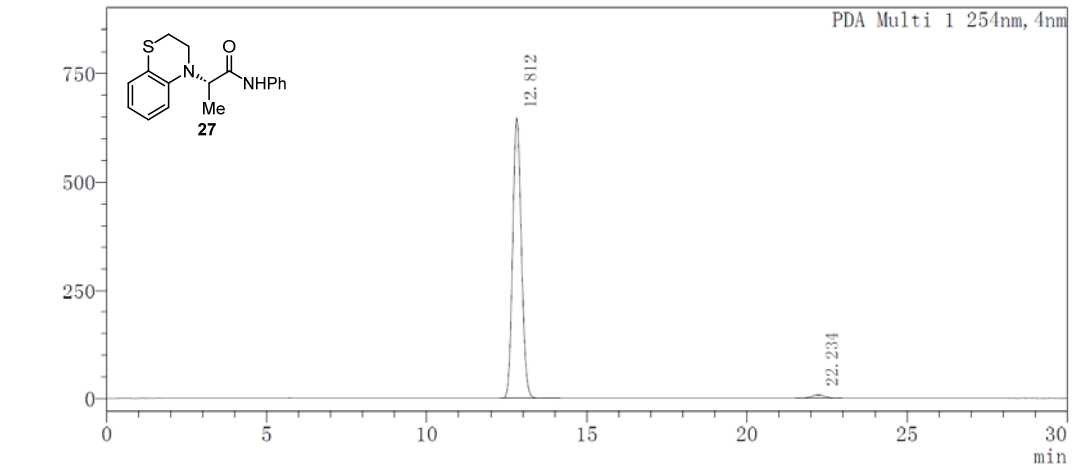
Peak#	Ret. Time	Area	Area%
1	15.233	40536258	97.377
2	21.198	1092068	2.623



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.763	8315740	49.782
2	21.974	8388579	50.218

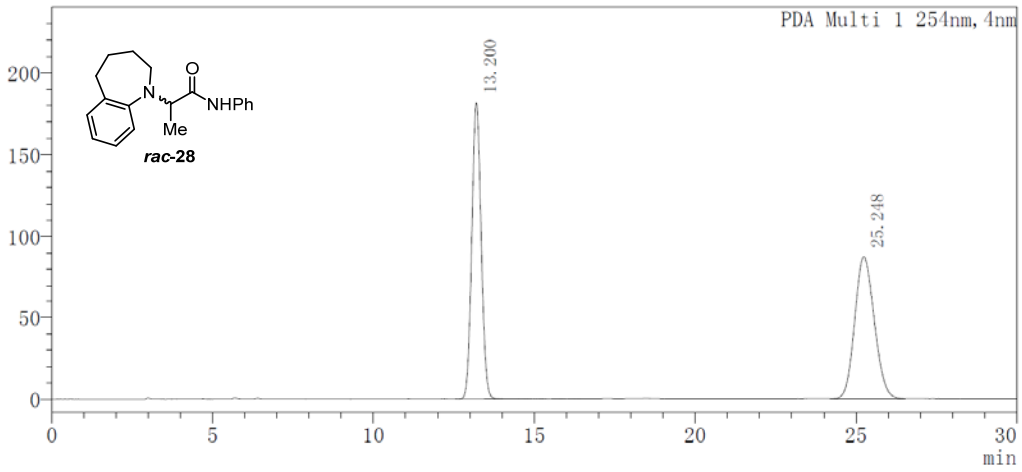


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.812	12368693	98.003
2	22.234	252013	1.997

mAU

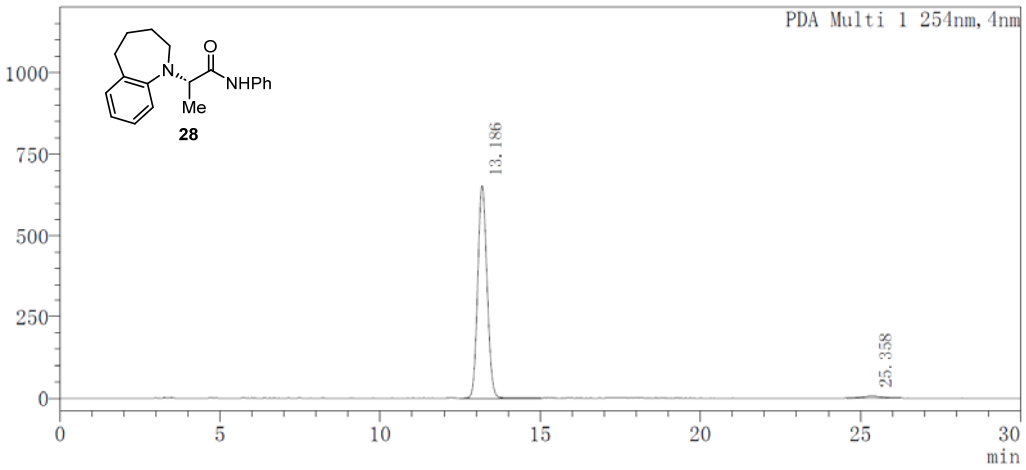


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.200	3692970	50.023
2	25.248	3689598	49.977

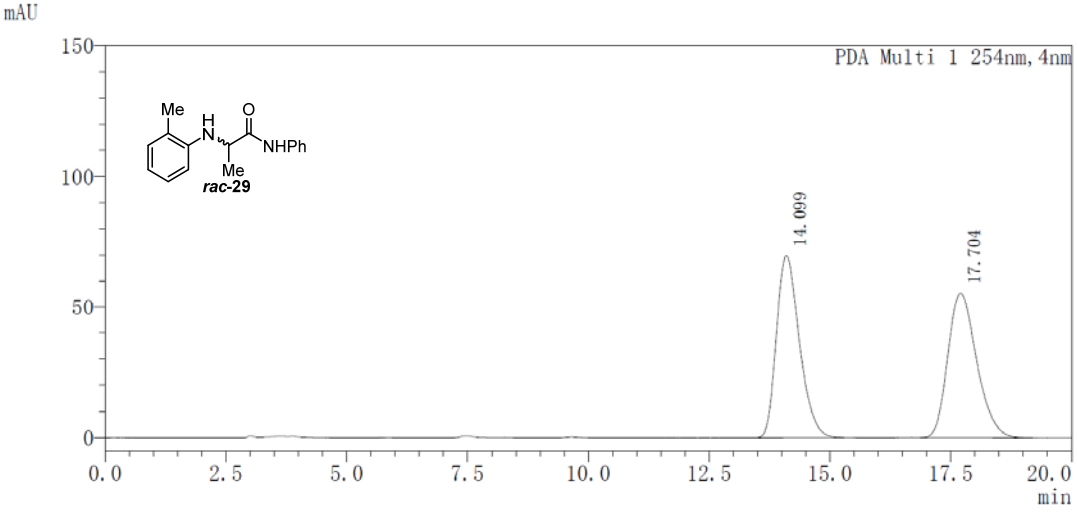
mAU



Peak Table

PDA Ch1 254nm

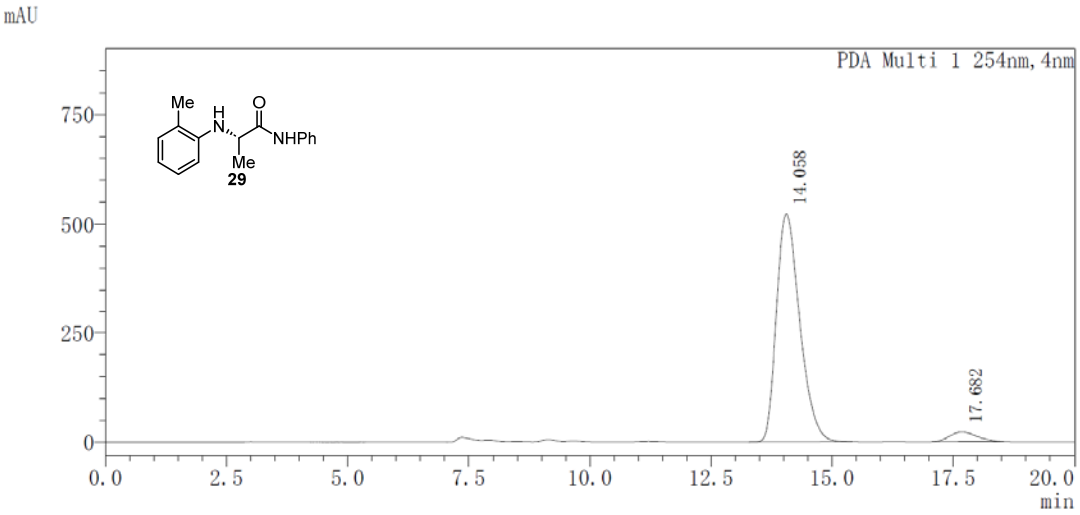
Peak#	Ret. Time	Area	Area%
1	13.186	13272665	98.300
2	25.358	229474	1.700



Peak Table

PDA Ch1 254nm

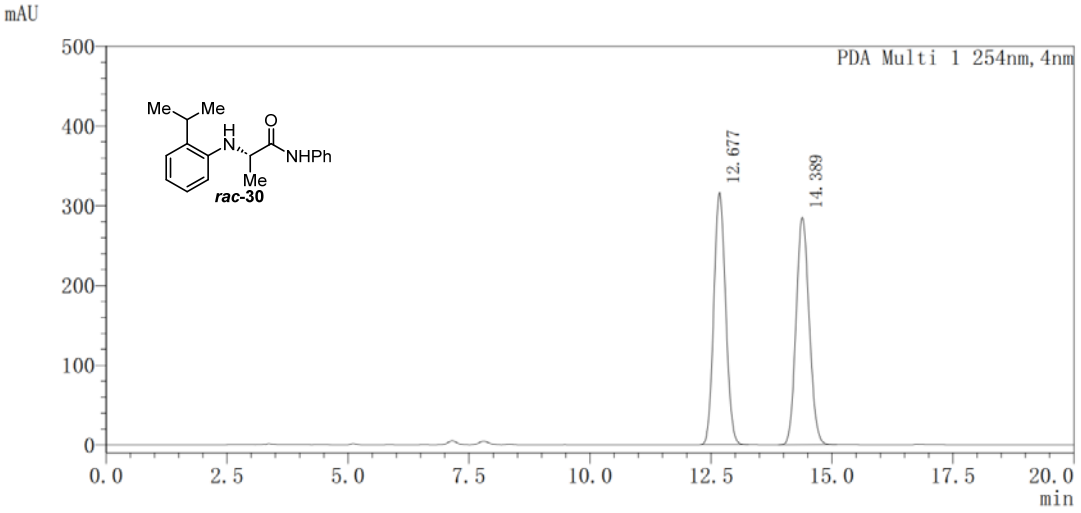
Peak#	Ret. Time	Area	Area%
1	14.099	2306466	50.164
2	17.704	2291426	49.836



Peak Table

PDA Ch1 254nm

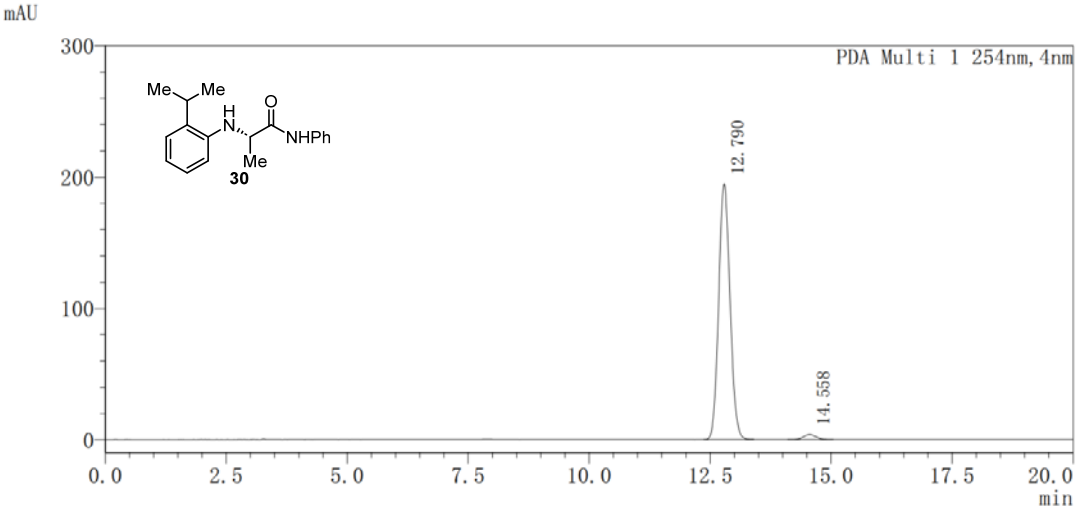
Peak#	Ret. Time	Area	Area%
1	14.058	17549273	95.212
2	17.682	882598	4.788



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.677	5388649	49.950
2	14.389	5399436	50.050

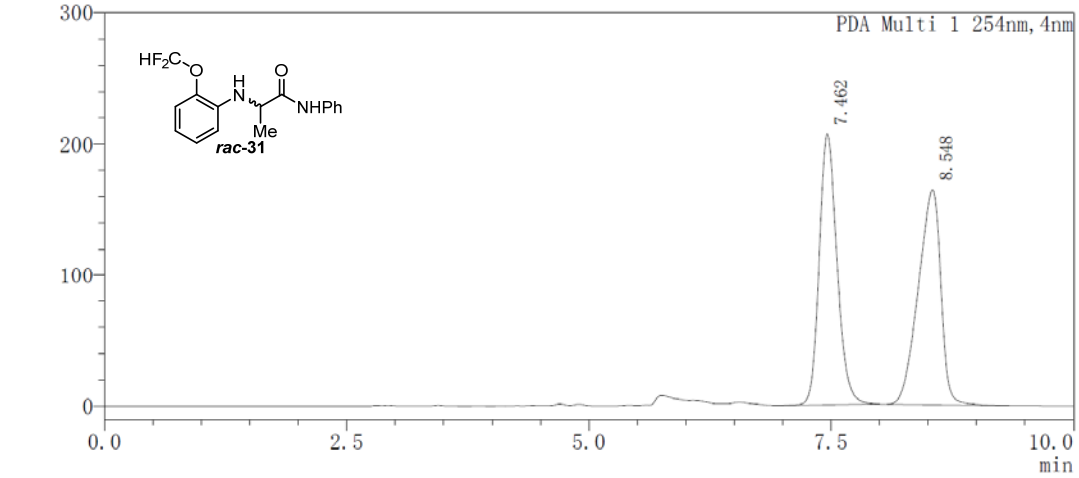


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.790	3170694	97.783
2	14.558	71889	2.217

mAU

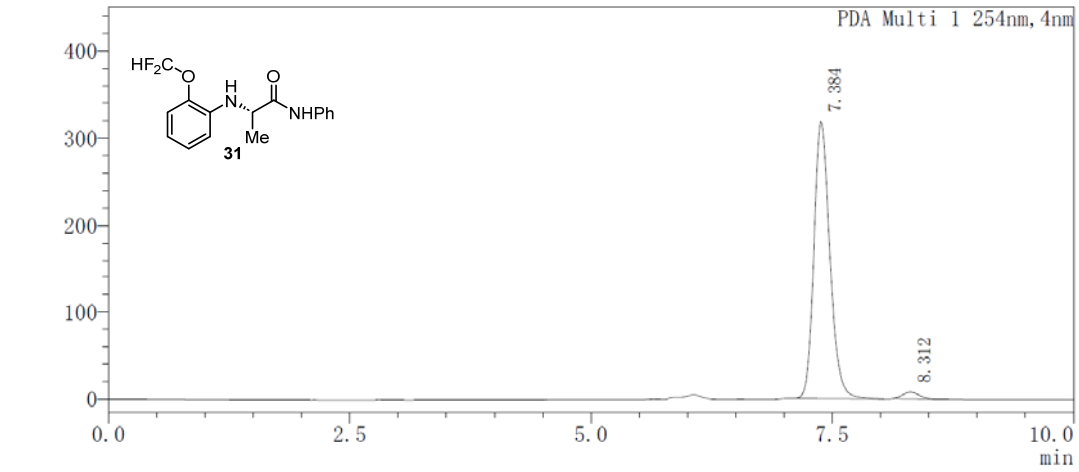


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.462	2645872	49.878
2	8.548	2658777	50.122

mAU

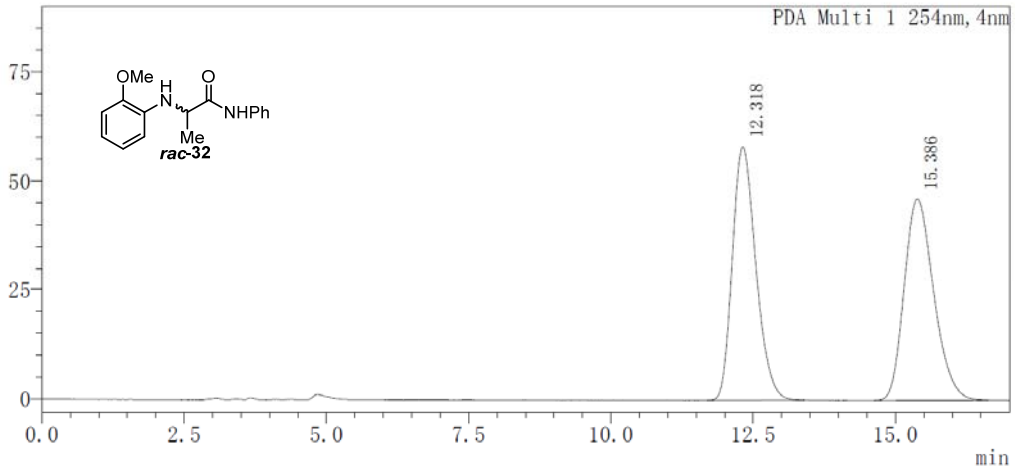


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.384	3663683	97.581
2	8.312	90803	2.419

mAU

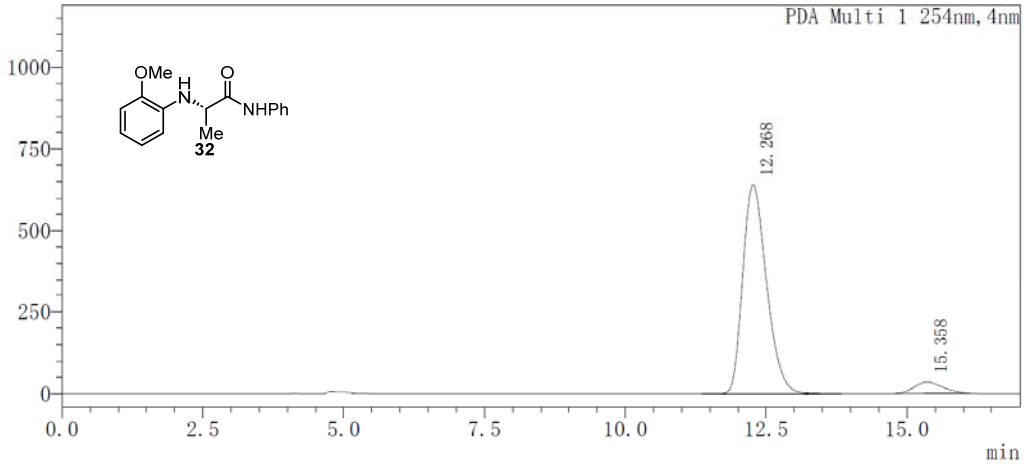


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.318	1671492	50.015
2	15.386	1670522	49.985

mAU

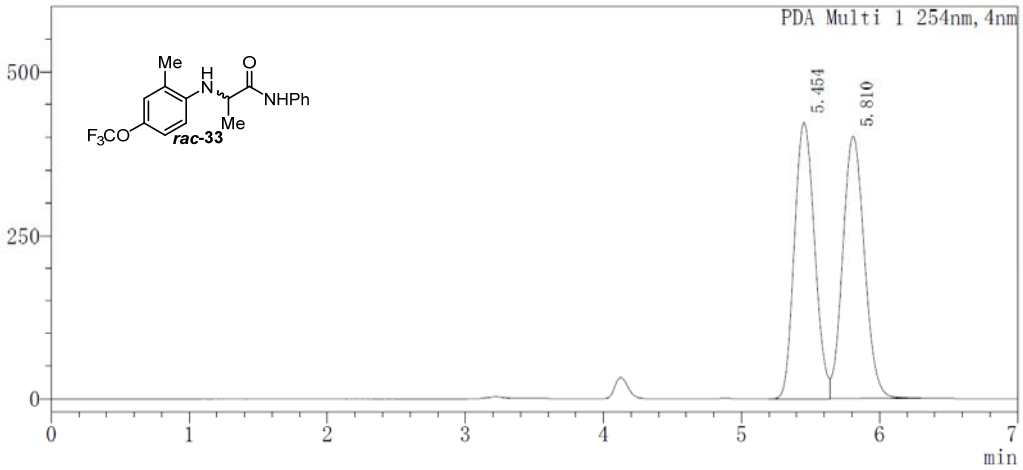


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.268	18780201	93.979
2	15.358	1203156	6.021

mAU

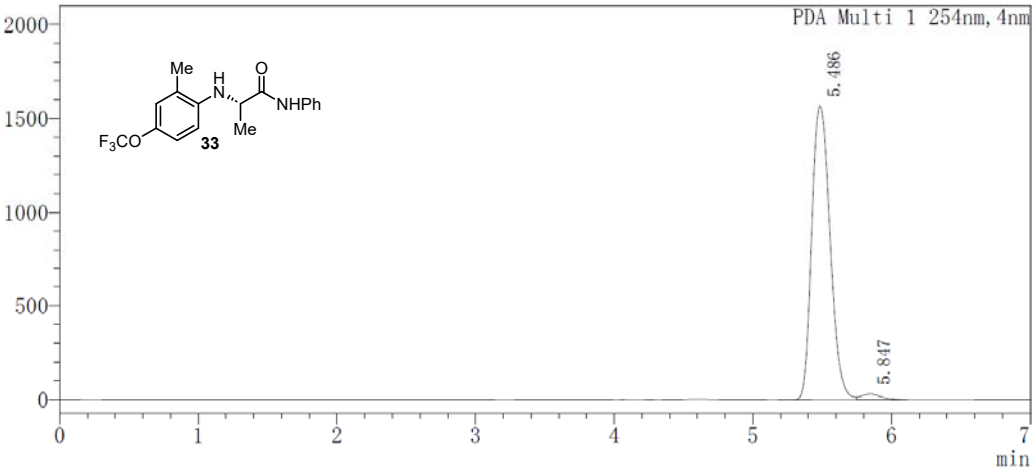


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	5.454	4309950	49.640
2	5.810	4372416	50.360

mAU

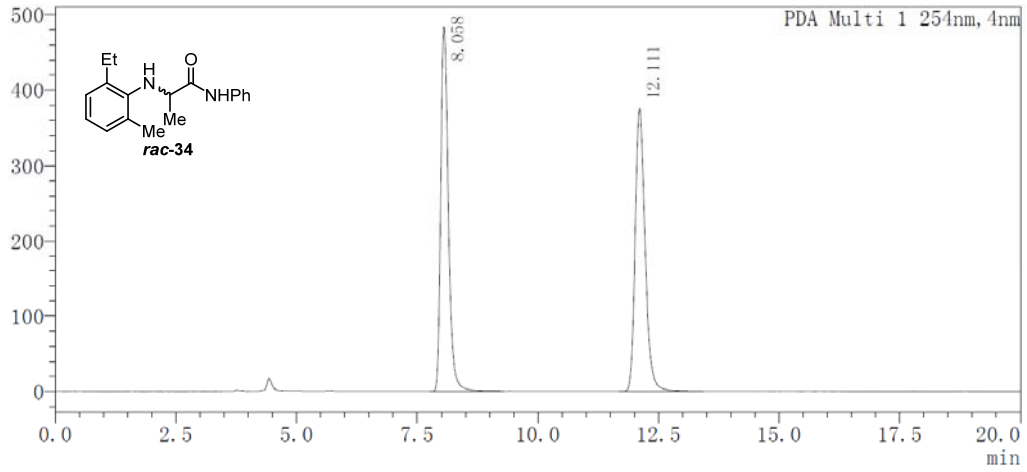


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	5.486	14397770	98.030
2	5.847	289325	1.970

mAU

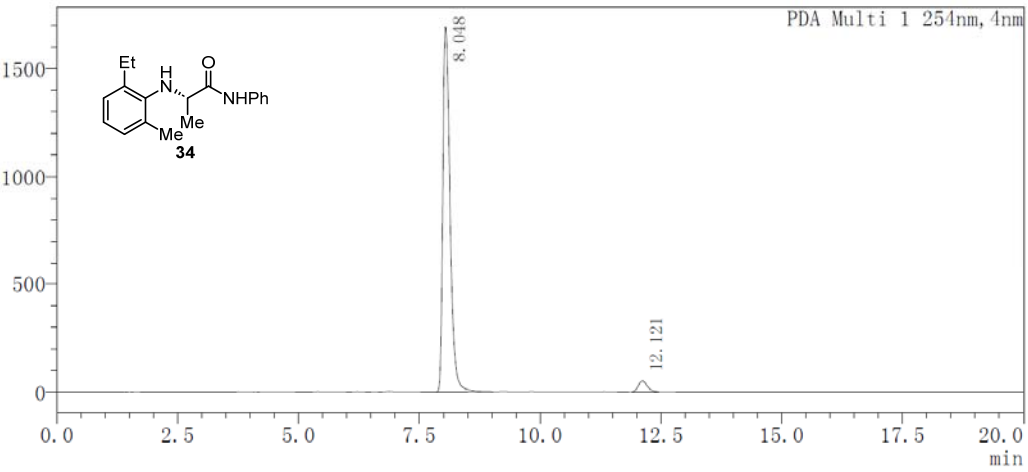


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.058	5355561	49.781
2	12.111	5402698	50.219

mAU

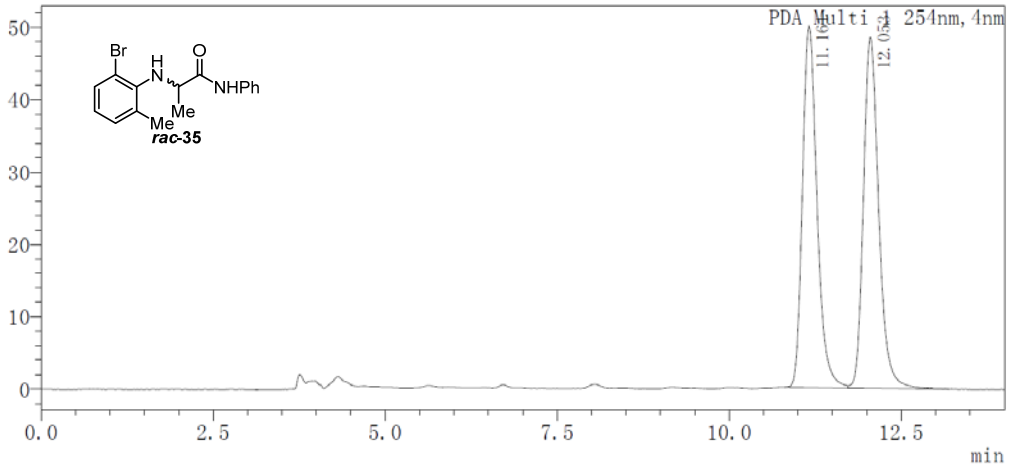


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.048	17669302	96.406
2	12.121	658689	3.594

mAU

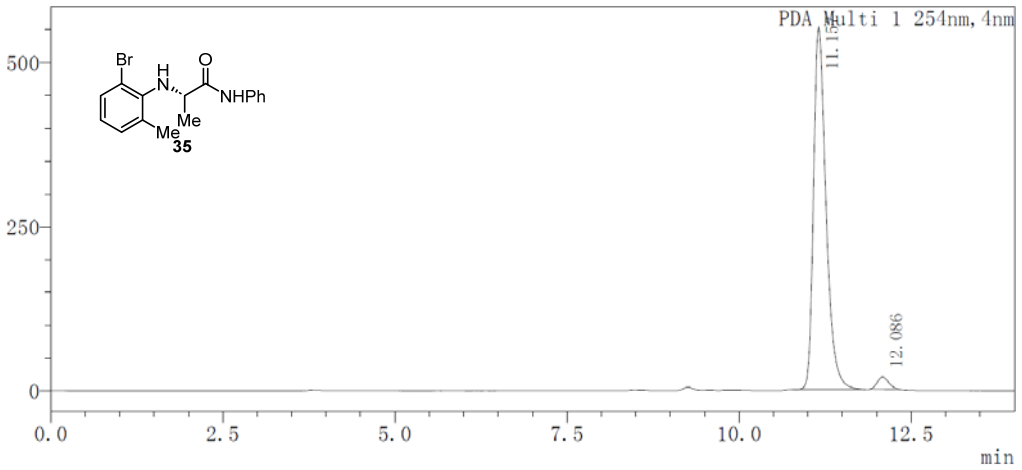


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.161	736692	49.507
2	12.053	751359	50.493

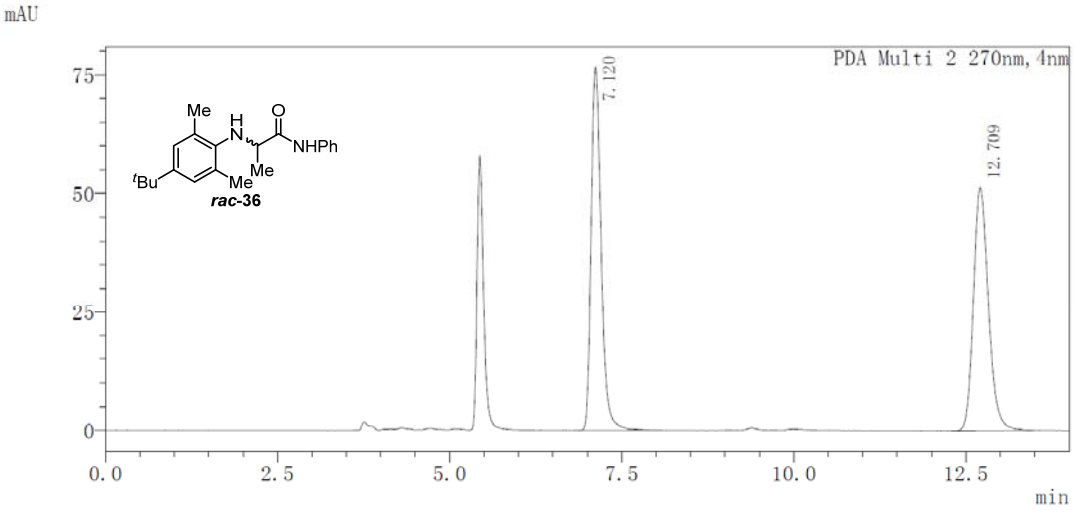
mAU



Peak Table

PDA Ch1 254nm

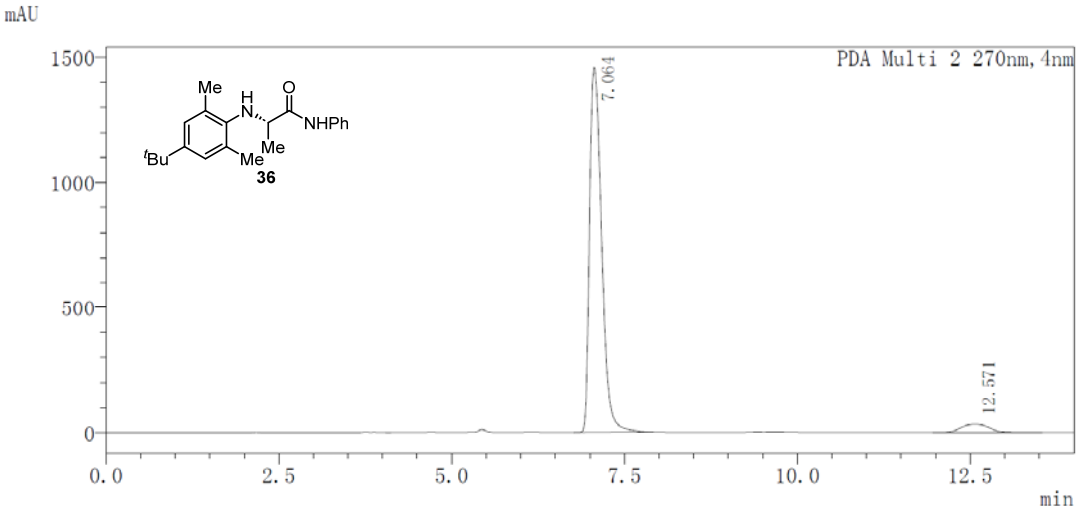
Peak#	Ret. Time	Area	Area%
1	11.157	7006054	96.935
2	12.086	221501	3.065



Peak Table

PDA Ch2 270nm

Peak#	Ret. Time	Area	Area%
1	7.120	776132	49.966
2	12.709	777196	50.034

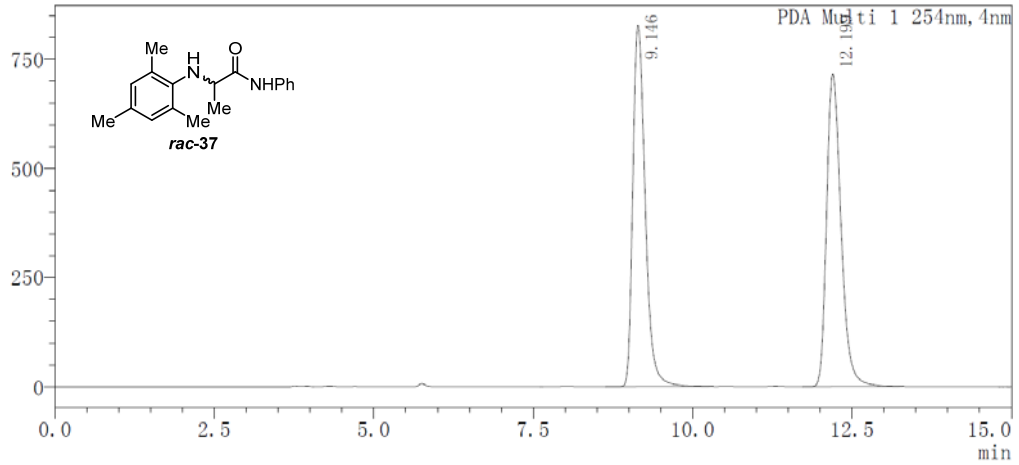


Peak Table

PDA Ch2 270nm

Peak#	Ret. Time	Area	Area%
1	7.064	17731095	94.899
2	12.571	953015	5.101

mAU



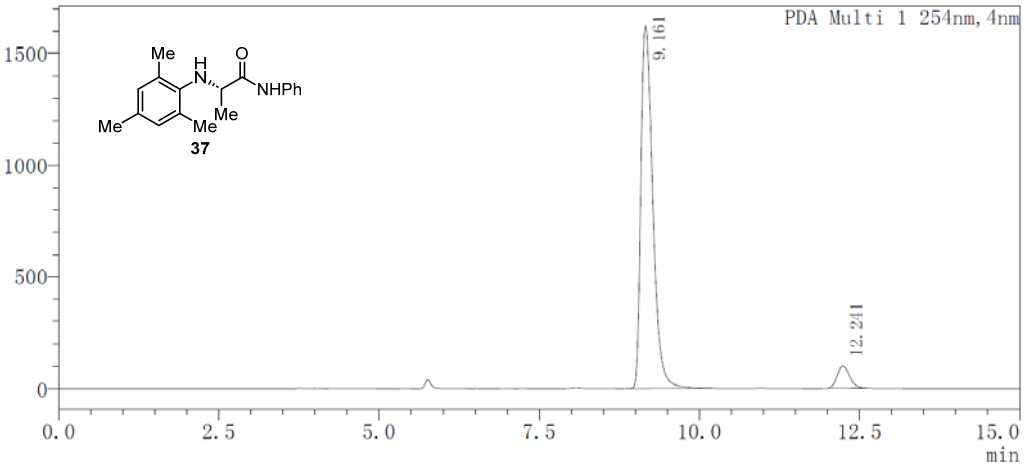
rac-37

Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.146	11165433	49.727
2	12.199	11287837	50.273

mAU



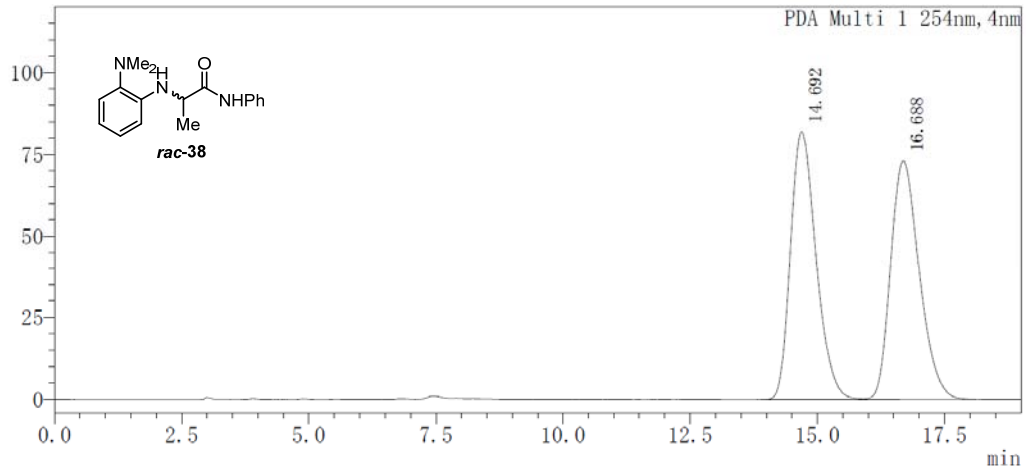
37

Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.161	21049004	93.973
2	12.241	1349986	6.027

mAU

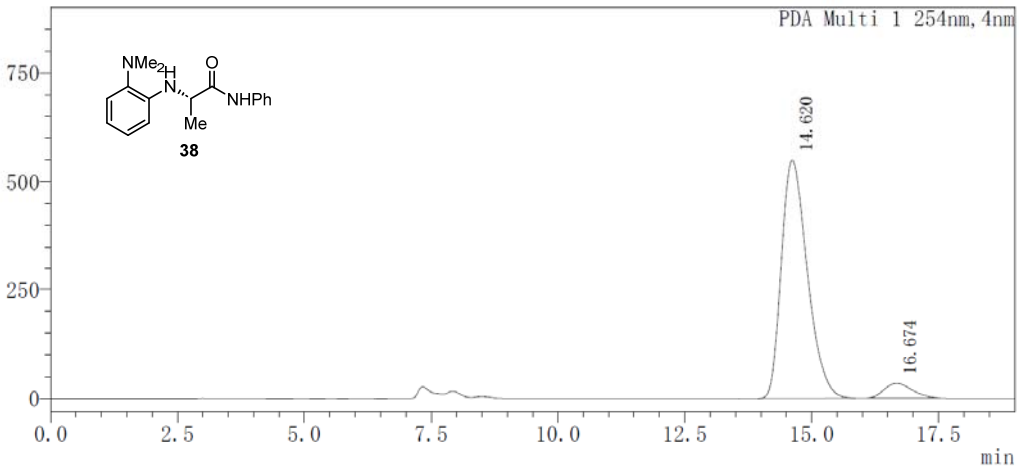


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.692	2869275	50.052
2	16.688	2863313	49.948

mAU

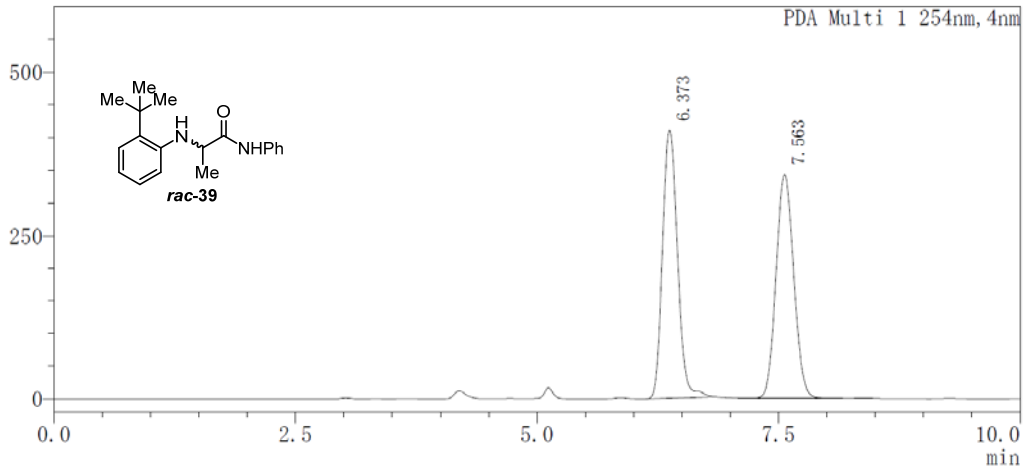


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.620	19530215	93.898
2	16.674	1269170	6.102

mAU

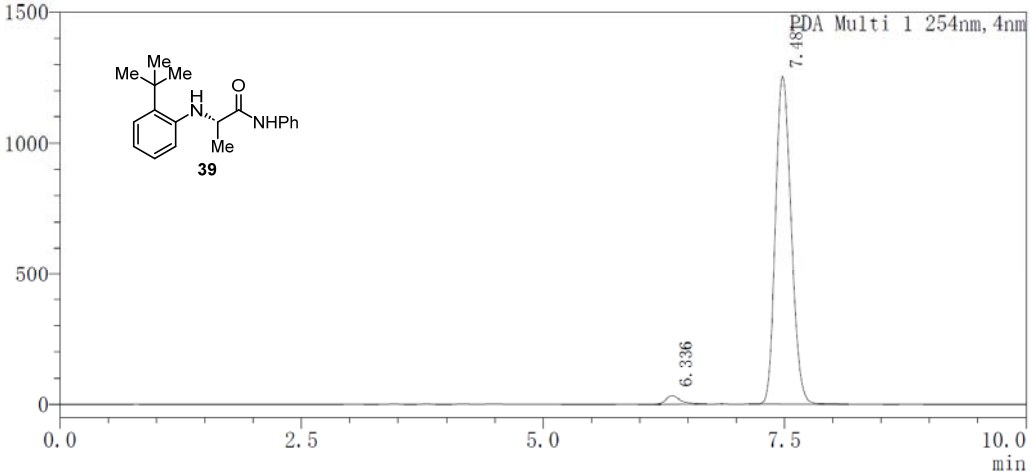


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.373	4438844	50.476
2	7.563	4355071	49.524

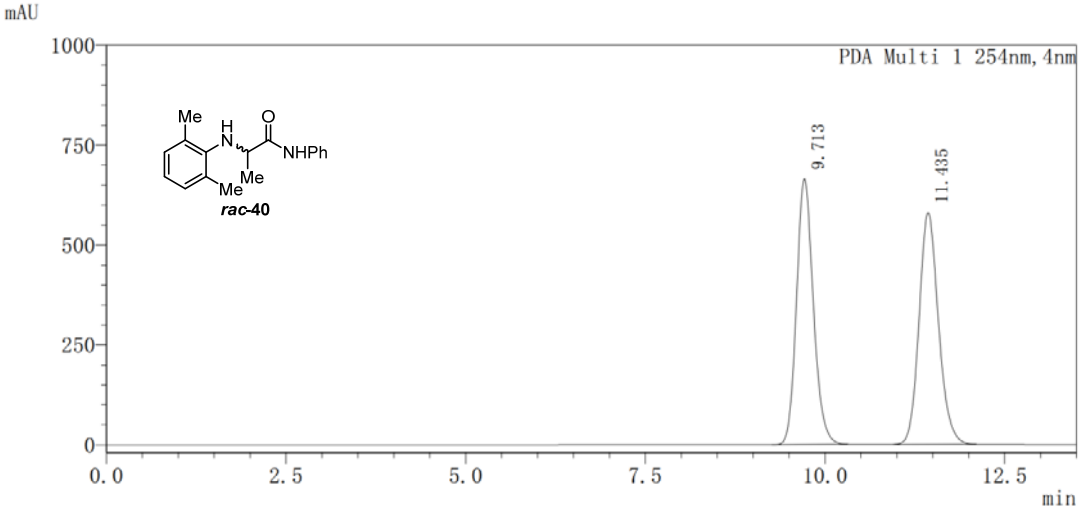
mAU



Peak Table

PDA Ch1 254nm

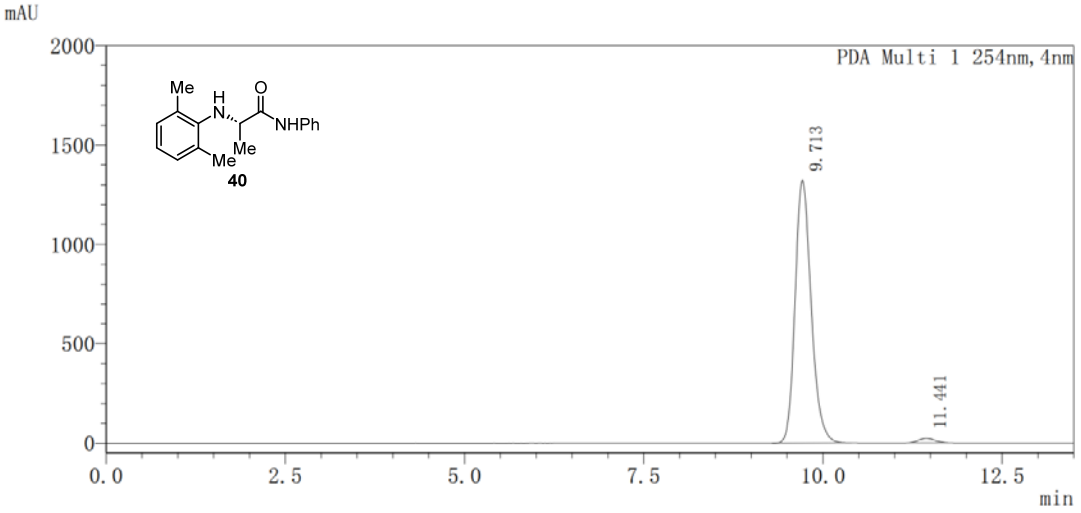
Peak#	Ret. Time	Area	Area%
1	6.336	345095	2.370
2	7.481	14215048	97.630



Peak Table

PDA Ch1 254nm

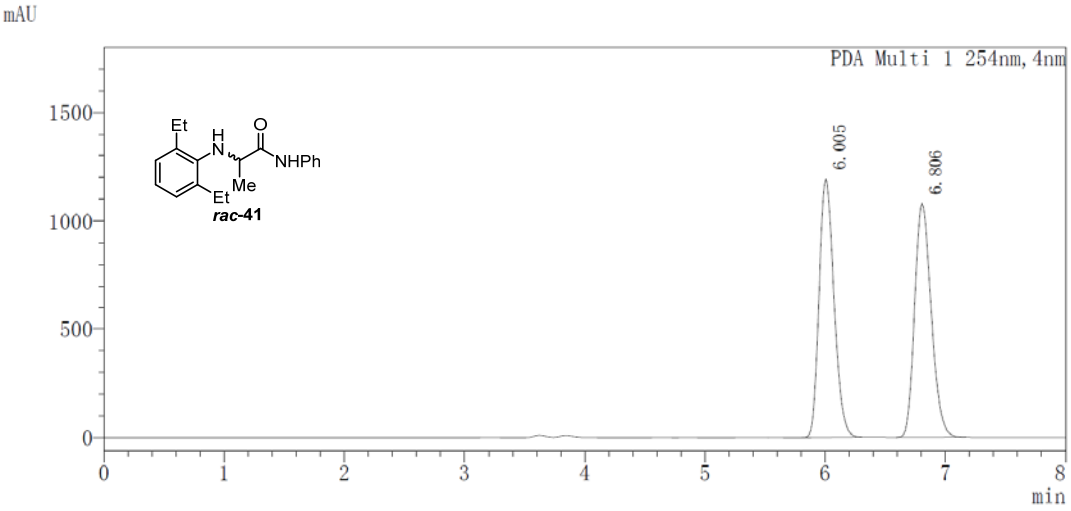
Peak#	Ret. Time	Area	Area%
1	9.713	10839097	49.882
2	11.435	10890385	50.118



Peak Table

PDA Ch1 254nm

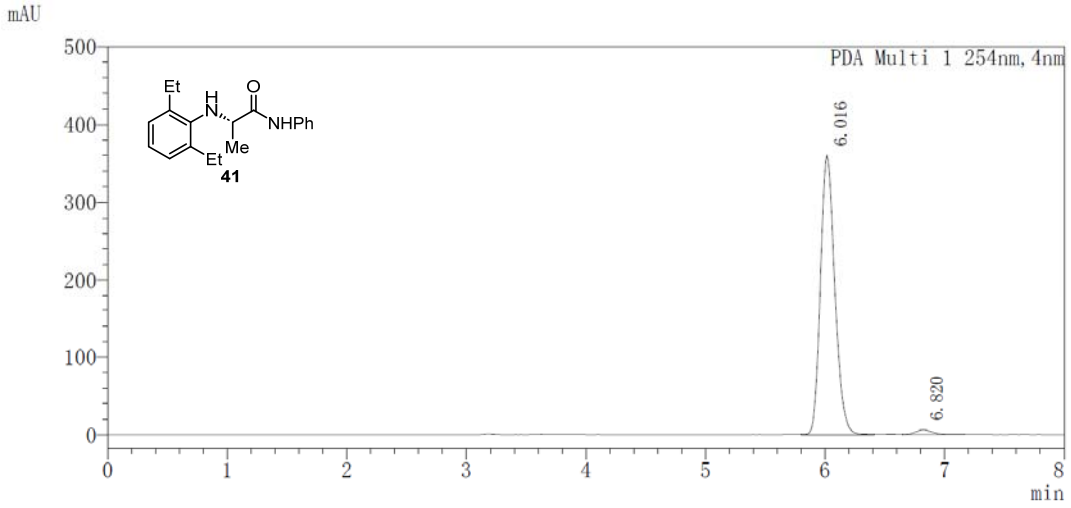
Peak#	Ret. Time	Area	Area%
1	9.713	20659970	98.315
2	11.441	354058	1.685



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.005	10271286	49.738
2	6.806	10379291	50.262

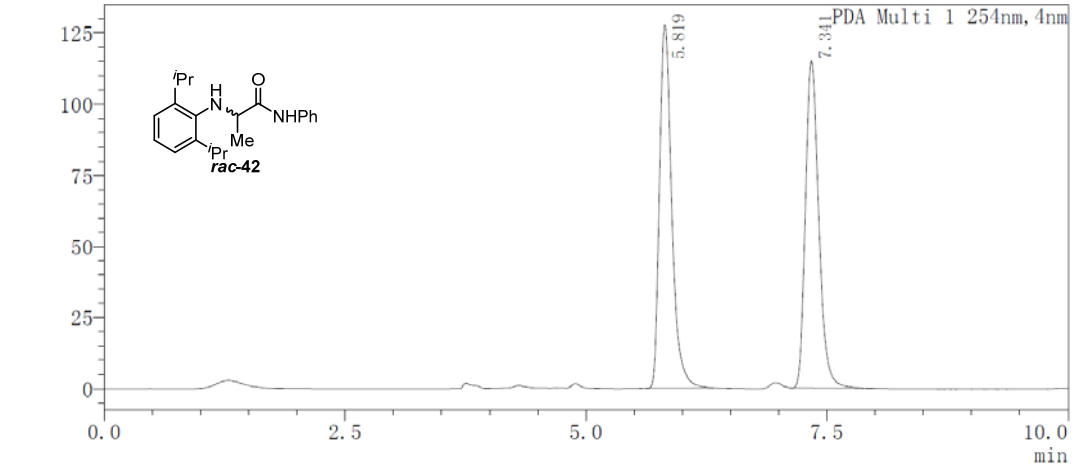


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.016	3011026	97.966
2	6.820	62514	2.034

mAU

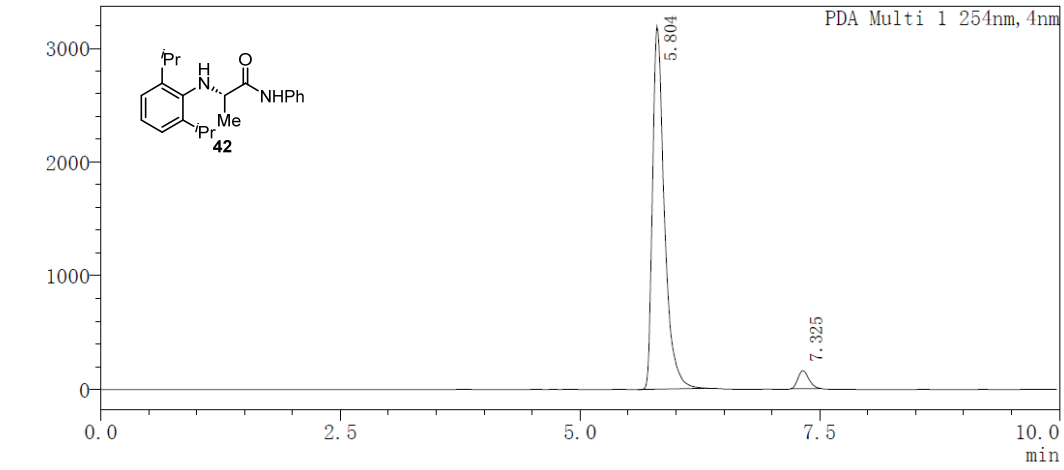


Peak Table

PDA Ch1 254nm

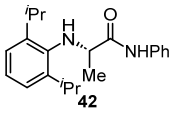
Peak#	Ret. Time	Area	Area%
1	5.819	1129317	50.466
2	7.341	1108467	49.534

mAU



Peak Table

PDA Ch1 254nm



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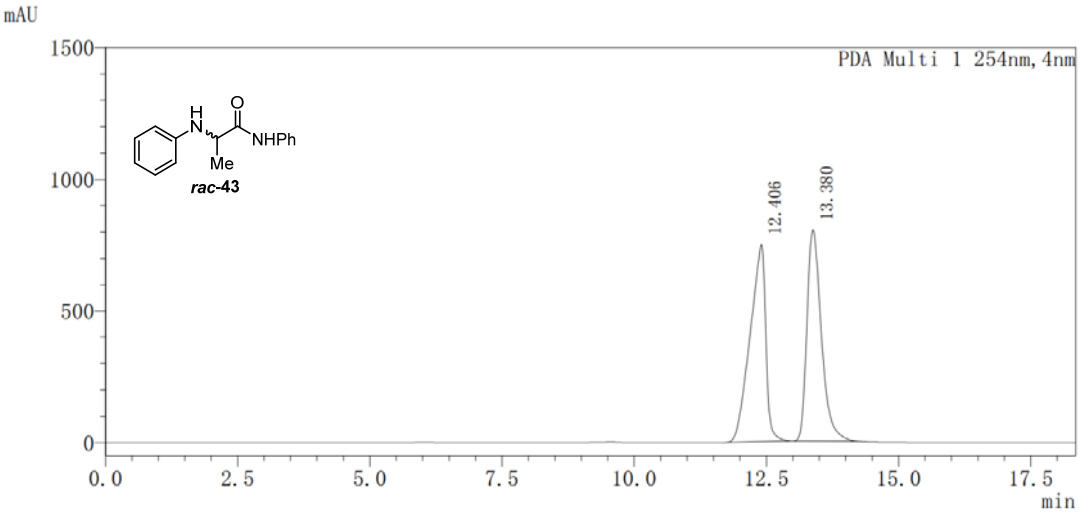
D:\duxuanyi\HPLC-1data\IA3-9010-08-duxy-n6-95-11-(

2023/4/12 21:27:22

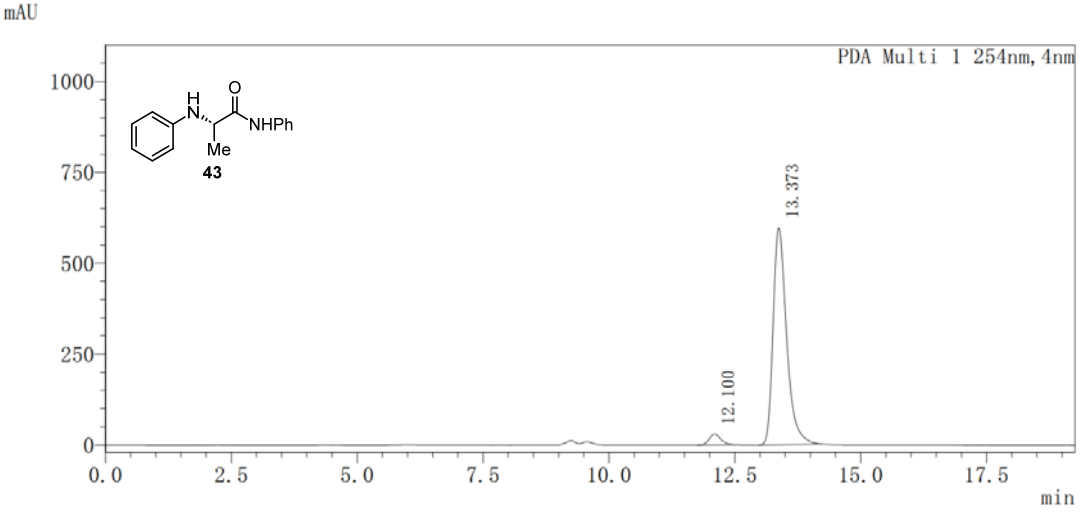
Peak#	Ret. Time	Area	Area%
1	5.804	27537239	95.641
2	7.325	1255089	4.359



Peak Table

PDA Ch1 254nm

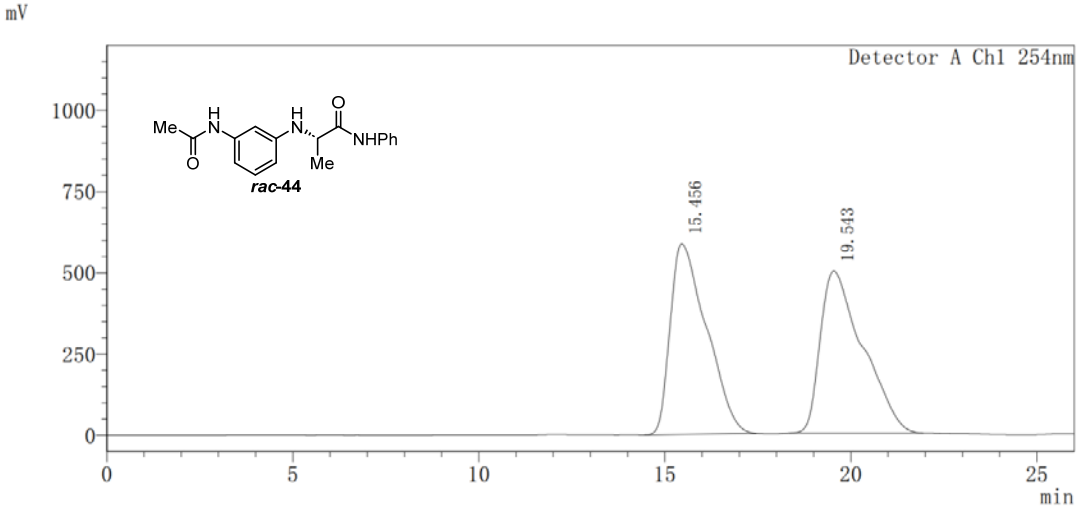
Peak#	Ret. Time	Area	Area%
1	12.406	15480797	50.276
2	13.380	15310665	49.724



Peak Table

PDA Ch1 254nm

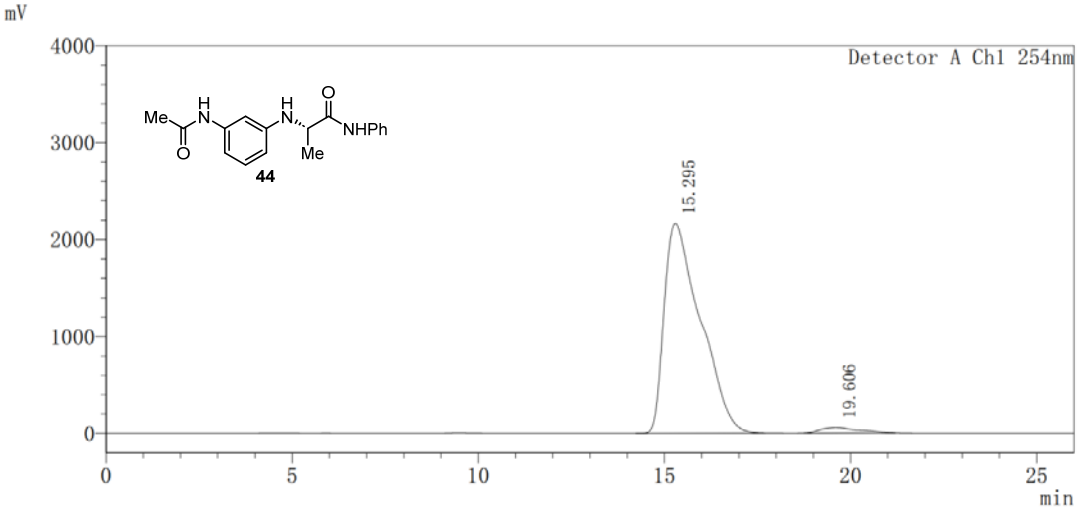
Peak#	Ret. Time	Area	Area%
1	12.100	471155	4.071
2	13.373	11101247	95.929



Peak Table

Detector A Ch1 254nm

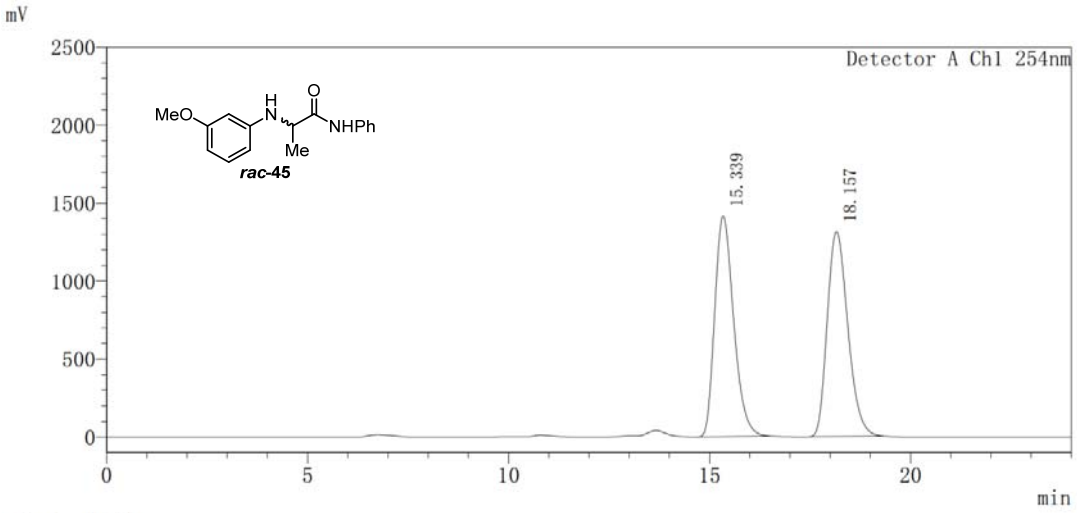
Peak#	Ret. Time	Area	Area%
1	15.456	39820511	50.207
2	19.543	39491840	49.793



Peak Table

Detector A Ch1 254nm

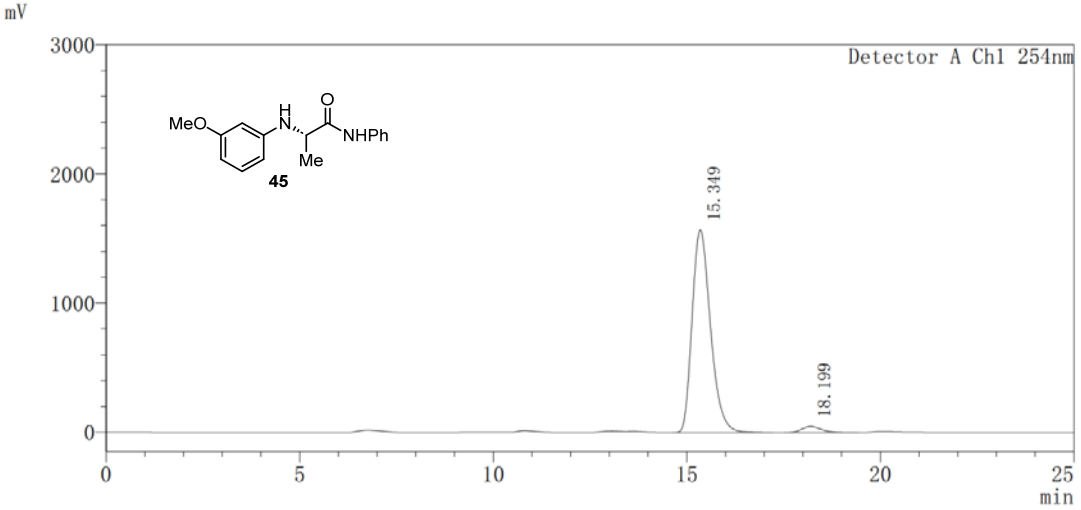
Peak#	Ret. Time	Area	Area%
1	15.295	146447232	97.334
2	19.606	4011362	2.666



Peak Table

Detector A Ch1 254nm

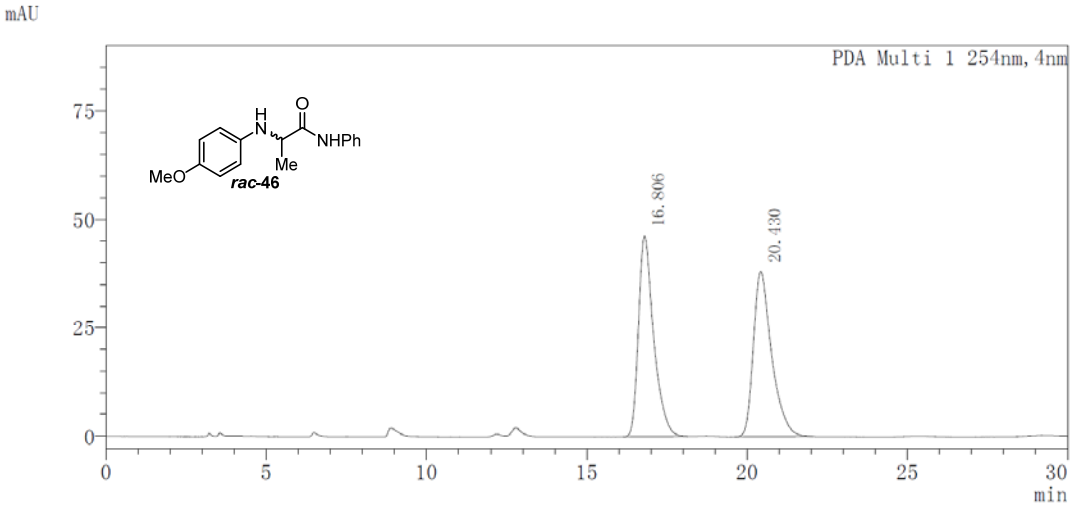
Peak#	Ret. Time	Area	Area%
1	15.339	46306082	49.738
2	18.157	46793420	50.262



Peak Table

Detector A Ch1 254nm

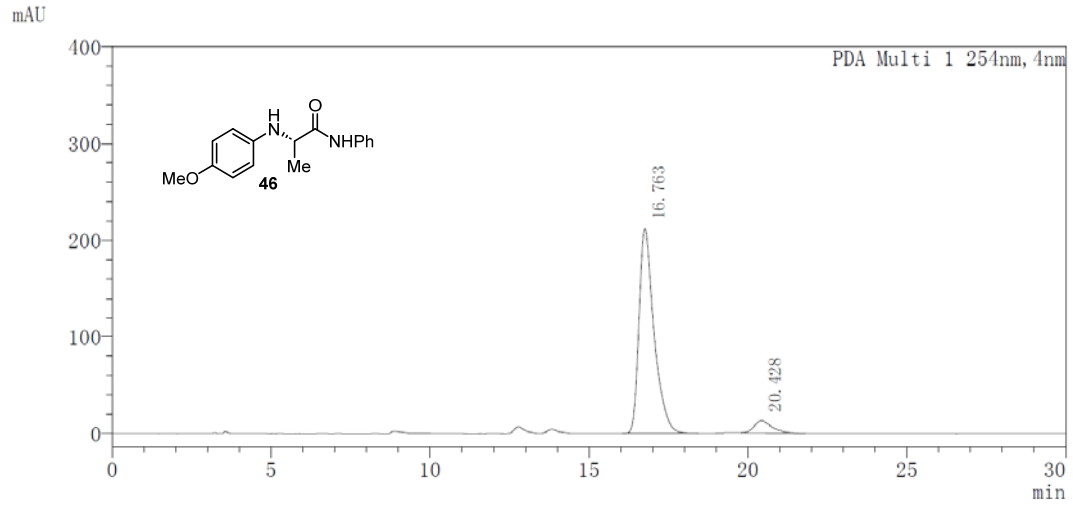
Peak#	Ret. Time	Area	Area%
1	15.349	51805978	96.940
2	18.199	1635404	3.060



Peak Table

PDA Ch1 254nm

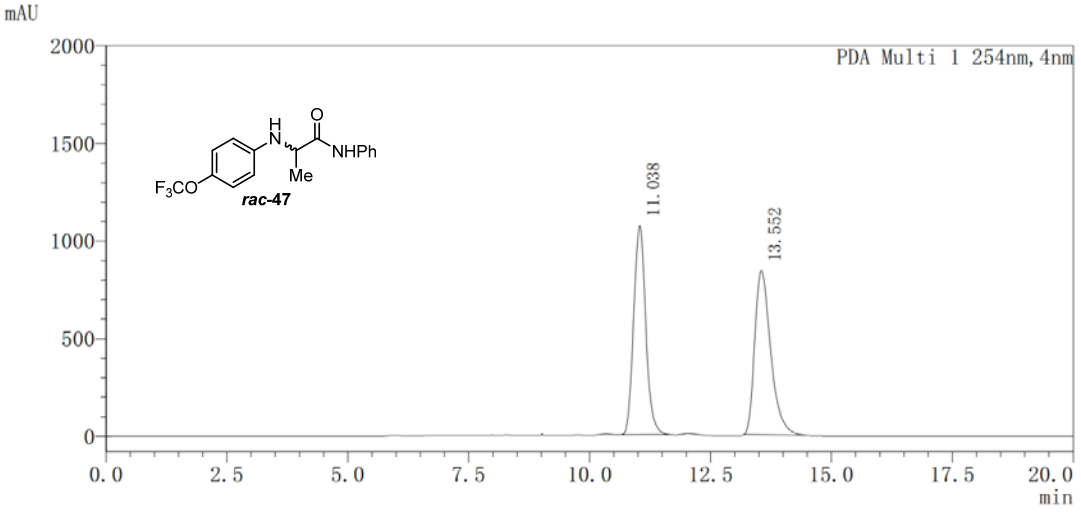
Peak#	Ret. Time	Area	Area%
1	16.806	1524134	50.249
2	20.430	1509051	49.751



Peak Table

PDA Ch1 254nm

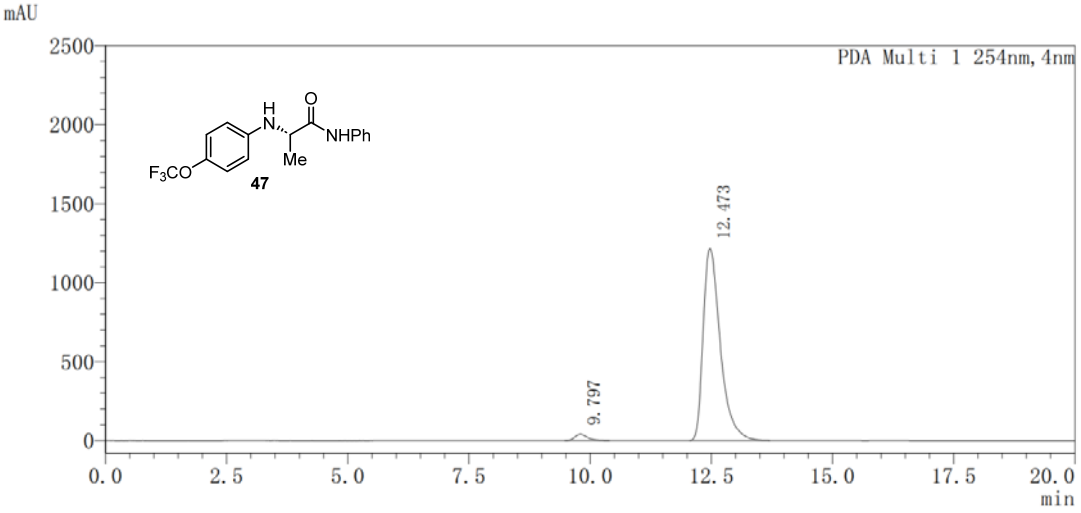
Peak#	Ret. Time	Area	Area%
1	16.763	6951817	93.429
2	20.428	488932	6.571



Peak Table

PDA Ch1 254nm

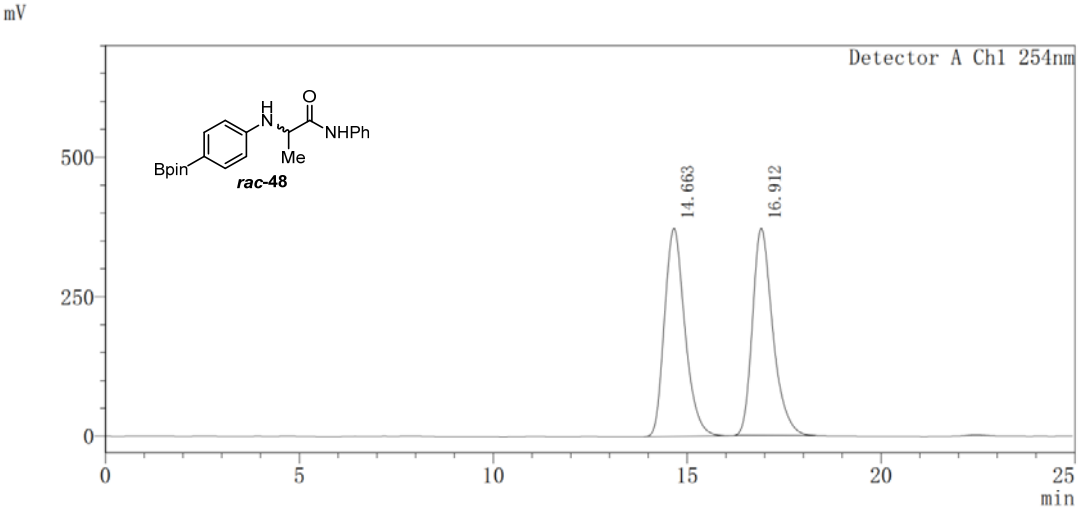
Peak#	Ret. Time	Area	Area%
1	11.038	18662188	49.109
2	13.552	19339384	50.891



Peak Table

PDA Ch1 254nm

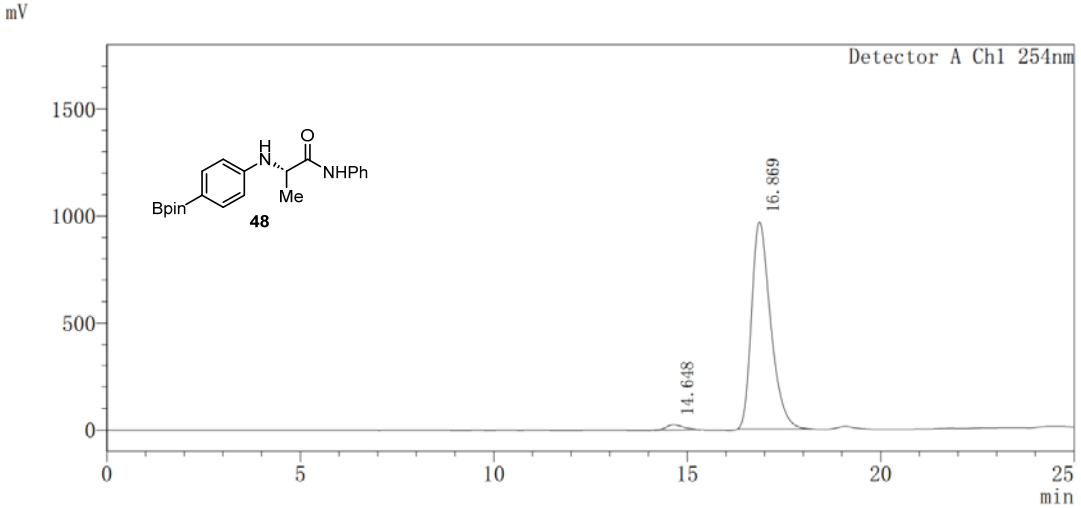
Peak#	Ret. Time	Area	Area%
1	9.797	685047	2.241
2	12.473	29884164	97.759



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.663	13665923	50.164
2	16.912	13576336	49.836

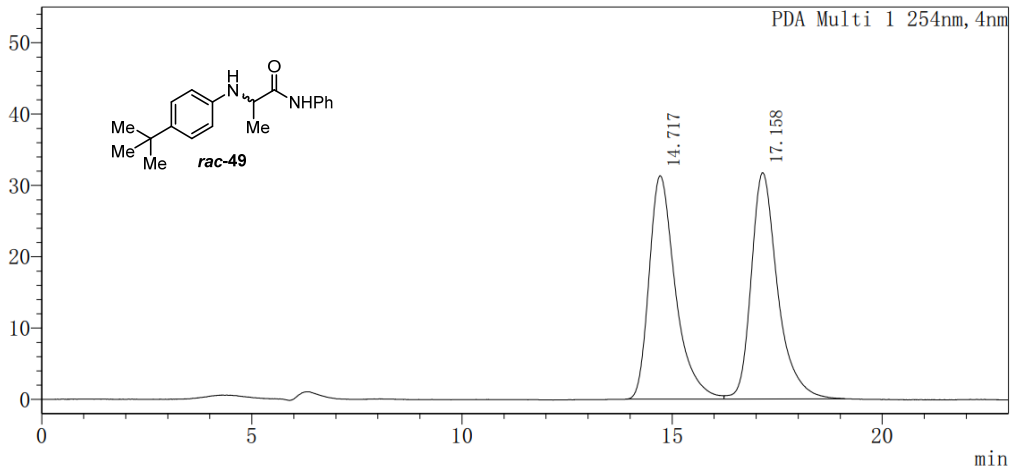


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.648	747067	2.195
2	16.869	33284285	97.805

mAU

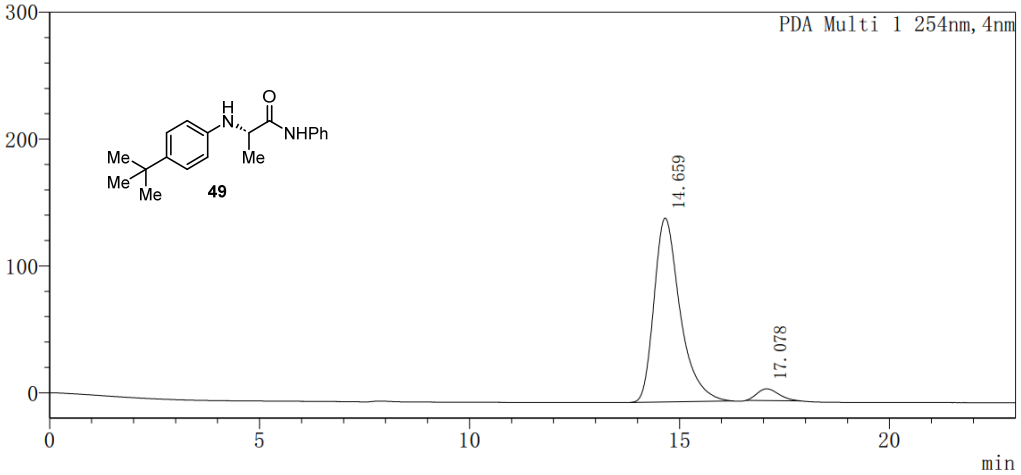


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.717	1367007	49.576
2	17.158	1390400	50.424

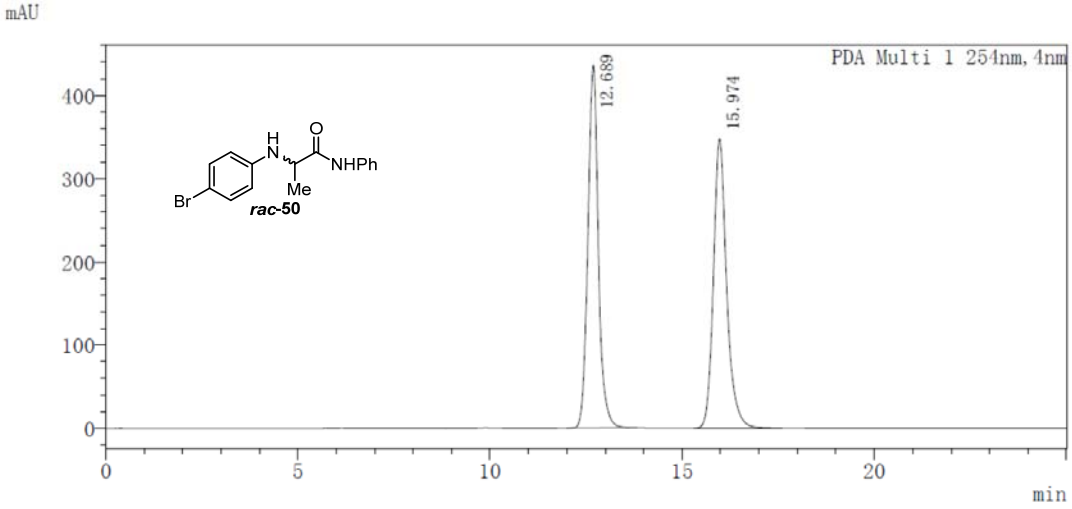
mAU



Peak Table

PDA Ch1 254nm

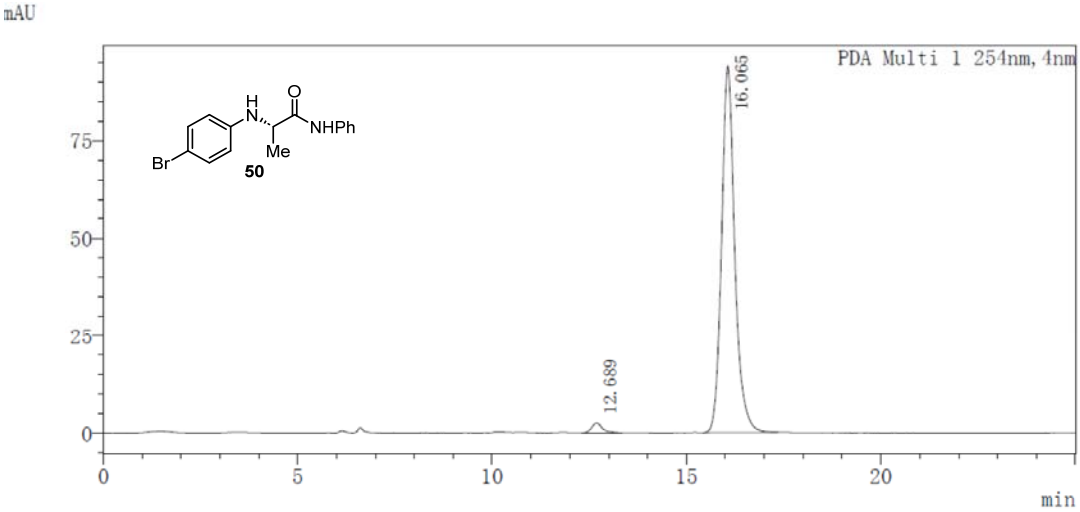
Peak#	Ret. Time	Area	Area%
1	14.659	6264869	94.946
2	17.078	333492	5.054



Peak Table

PDA Ch1 254nm

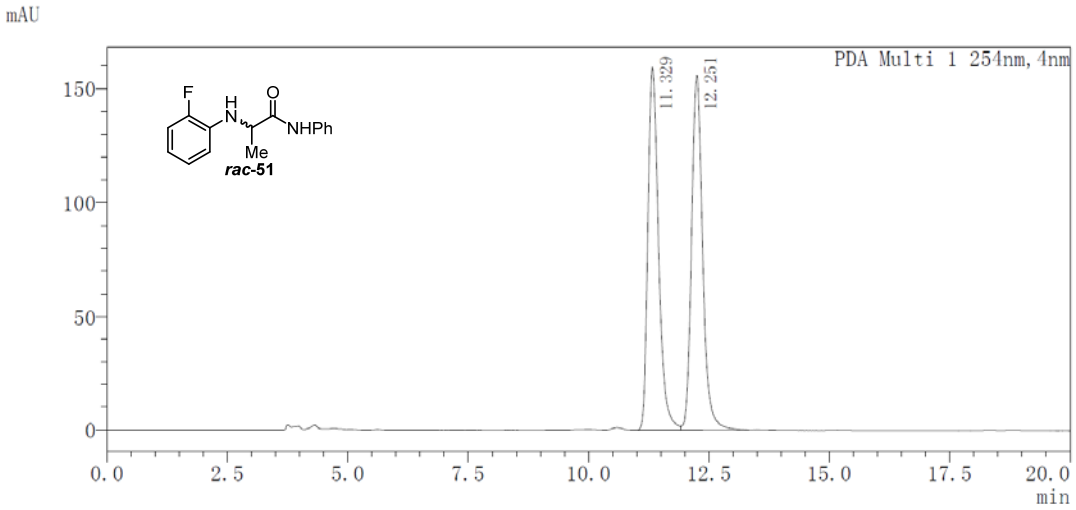
Peak#	Ret. Time	Area	Area%
1	12.689	8240026	49.864
2	15.974	8284960	50.136



Peak Table

PDA Ch1 254nm

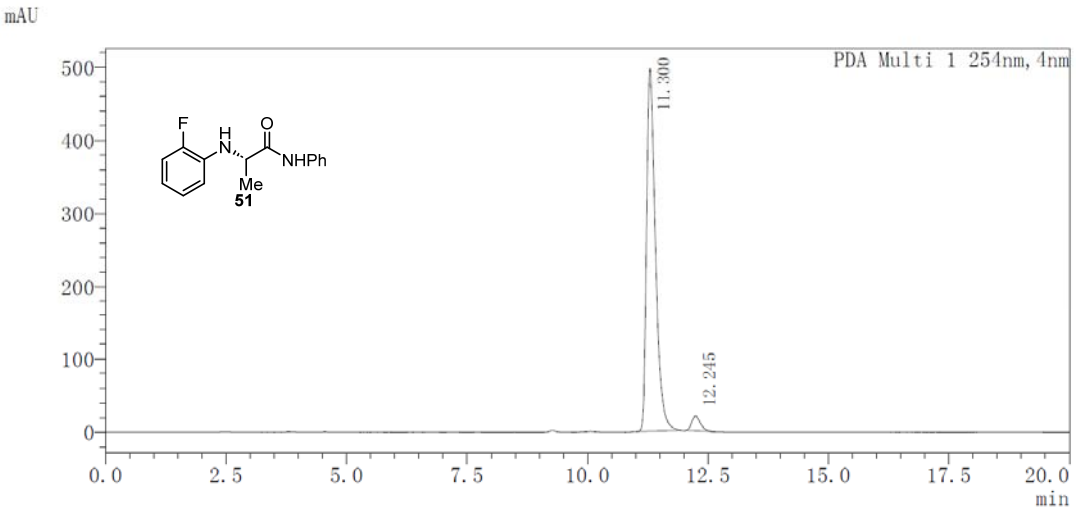
Peak#	Ret. Time	Area	Area%
1	12.689	47264	2.083
2	16.065	2222253	97.917



Peak Table

PDA Ch1 254nm

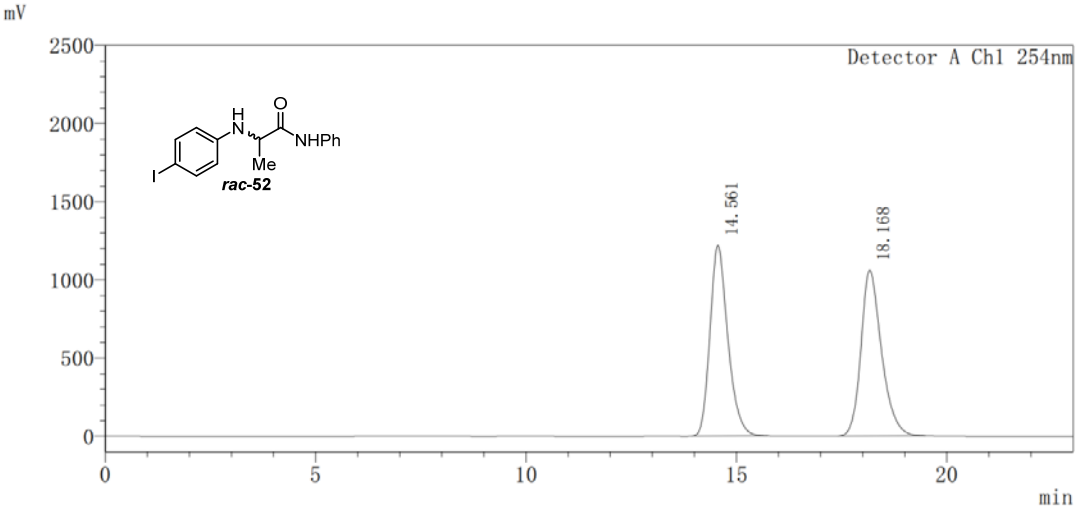
Peak#	Ret. Time	Area	Area%
1	11.329	2461894	49.700
2	12.251	2491646	50.300



Peak Table

PDA Ch1 254nm

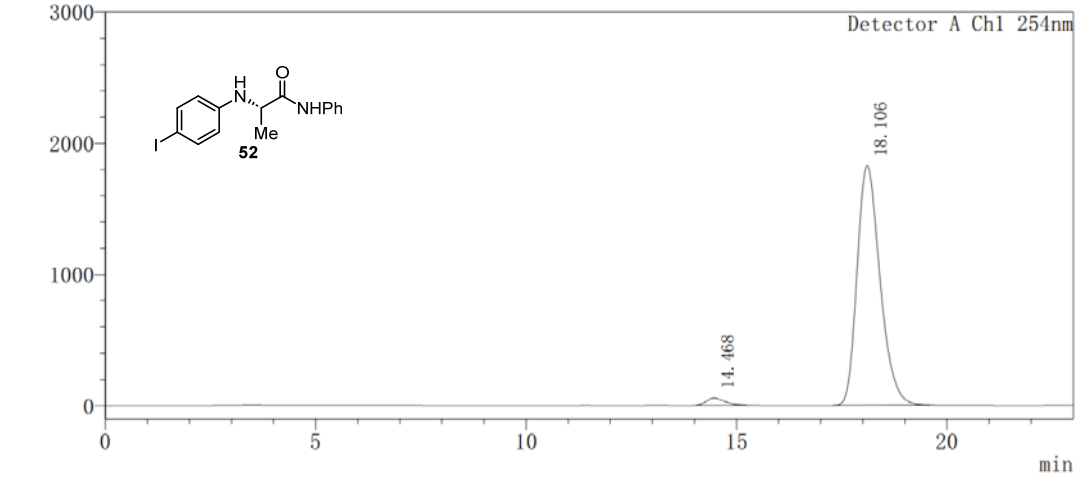
Peak#	Ret. Time	Area	Area%
1	11.300	6367282	96.090
2	12.245	259067	3.910



Peak Table

Detector A Ch1 254nm

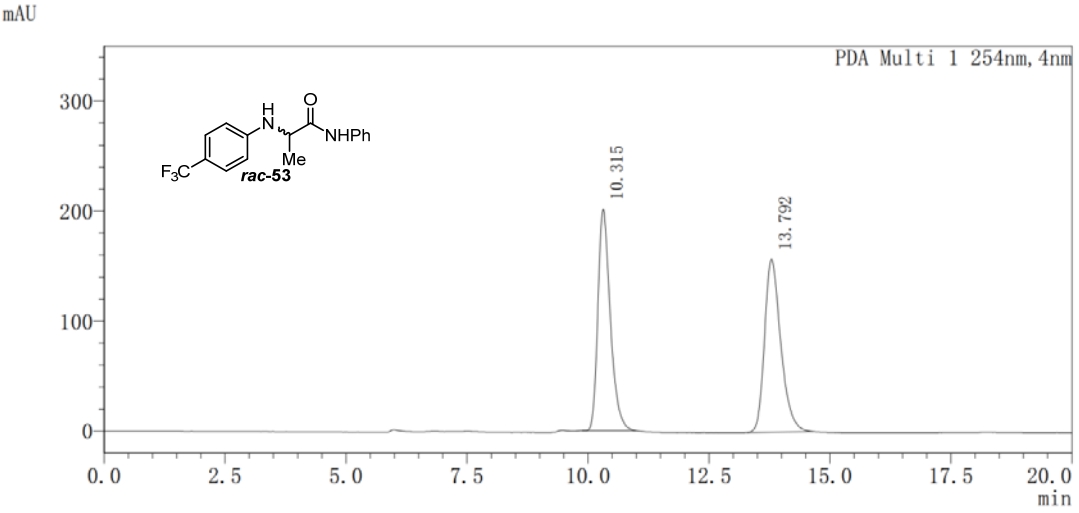
Peak#	Ret. Time	Area	Area%
1	14.561	36188063	50.002
2	18.168	36185209	49.998



Peak Table

Detector A Ch1 254nm

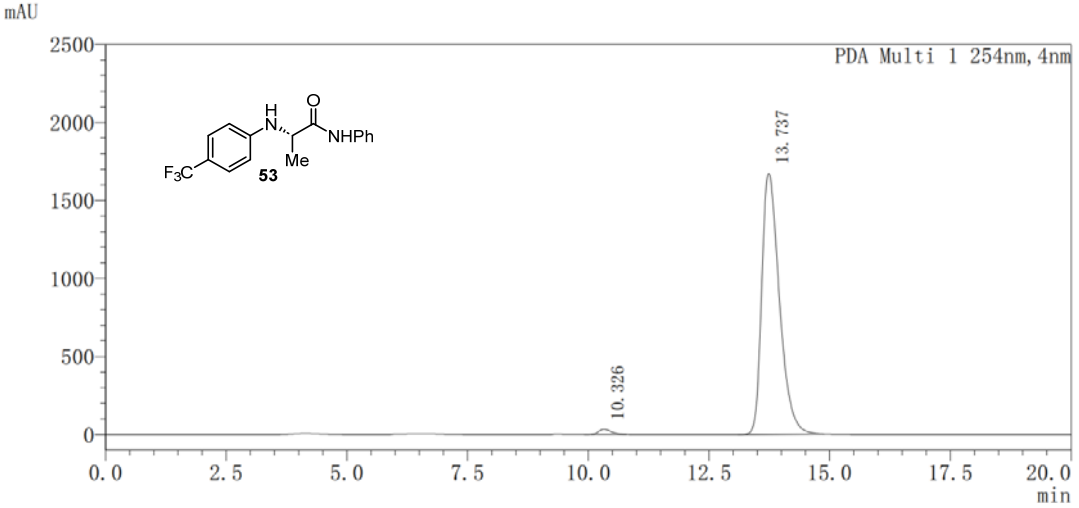
Peak#	Ret. Time	Area	Area%
1	14.468	1729741	2.427
2	18.106	69549677	97.573



Peak Table

PDA Ch1 254nm

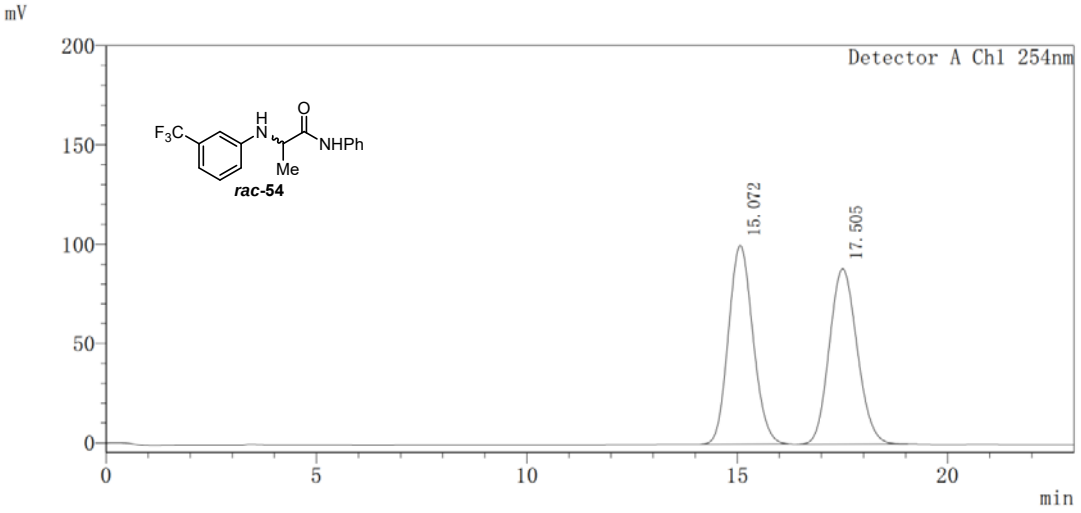
Peak#	Ret. Time	Area	Area%
1	10.315	3569690	49.391
2	13.792	3657680	50.609



Peak Table

PDA Ch1 254nm

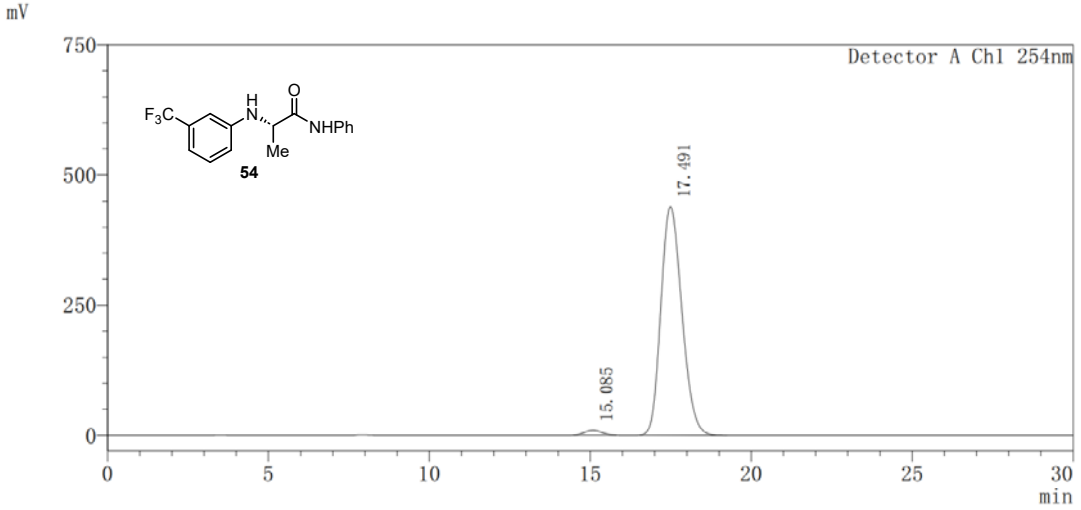
Peak#	Ret. Time	Area	Area%
1	10.326	579402	1.347
2	13.737	42445124	98.653



Peak Table

Detector A Ch1 254nm

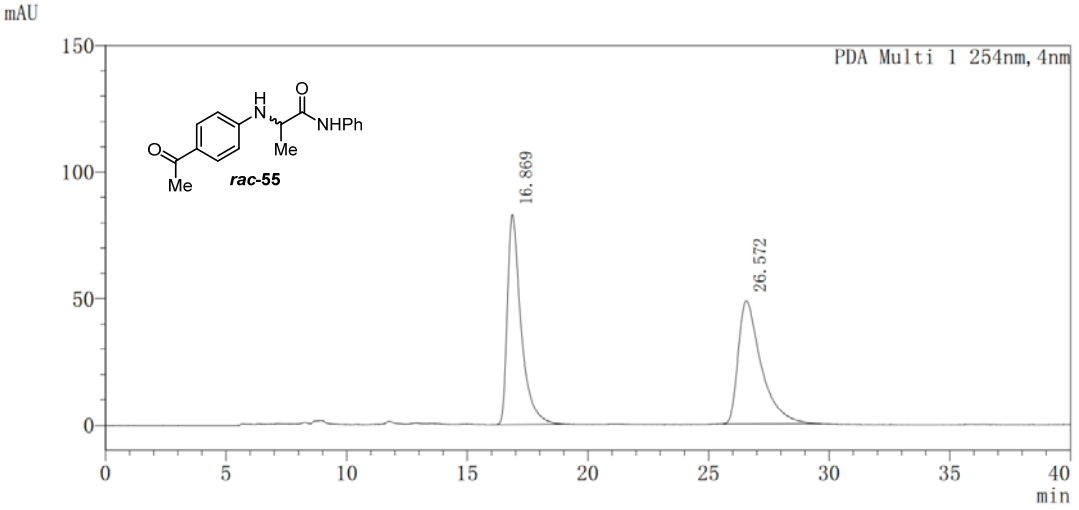
Peak#	Ret. Time	Area	Area%
1	15.072	4057844	49.964
2	17.505	4063745	50.036



Peak Table

Detector A Ch1 254nm

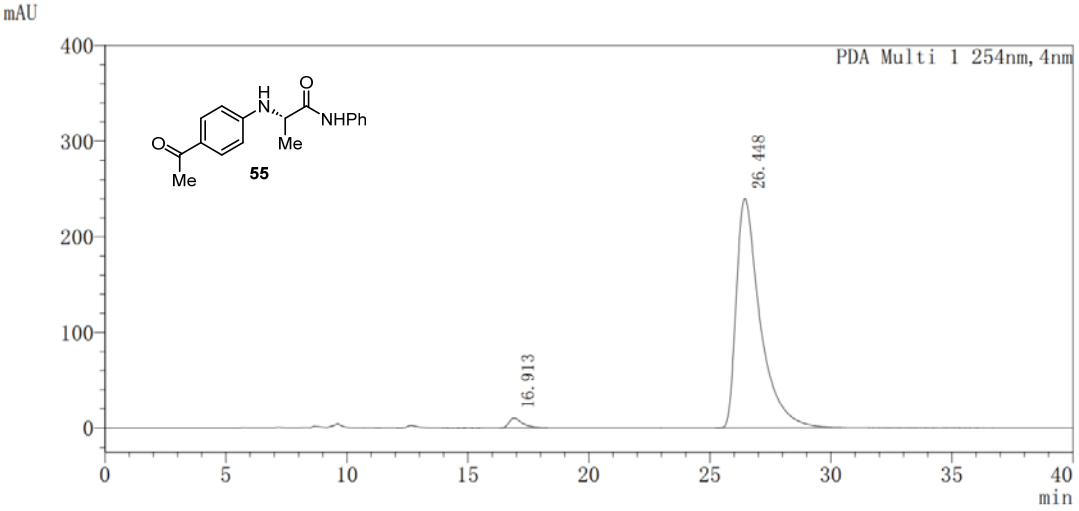
Peak#	Ret. Time	Area	Area%
1	15.085	338079	1.668
2	17.491	19924648	98.332



Peak Table

PDA Ch1 254nm

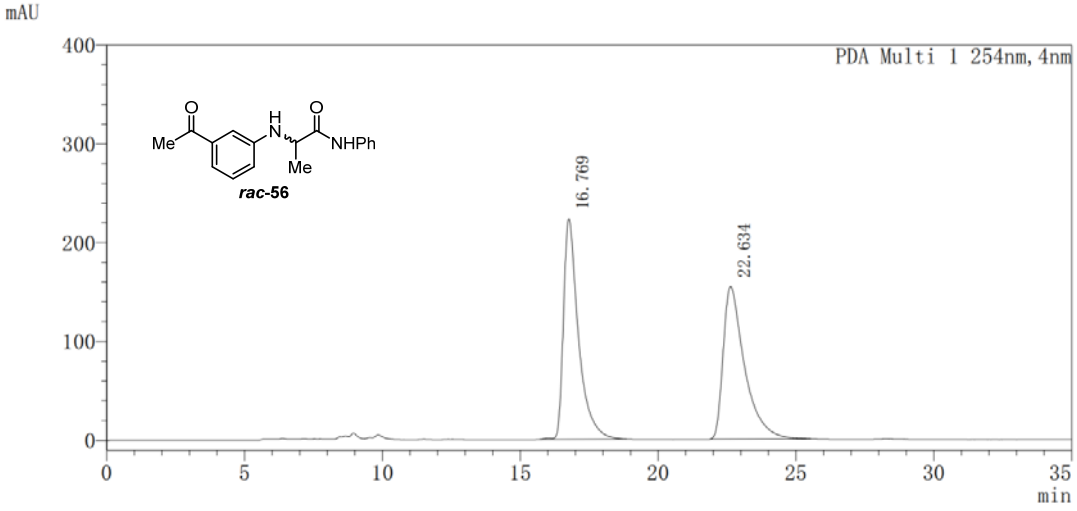
Peak#	Ret. Time	Area	Area%
1	16.869	3300373	50.383
2	26.572	3250228	49.617



Peak Table

PDA Ch1 254nm

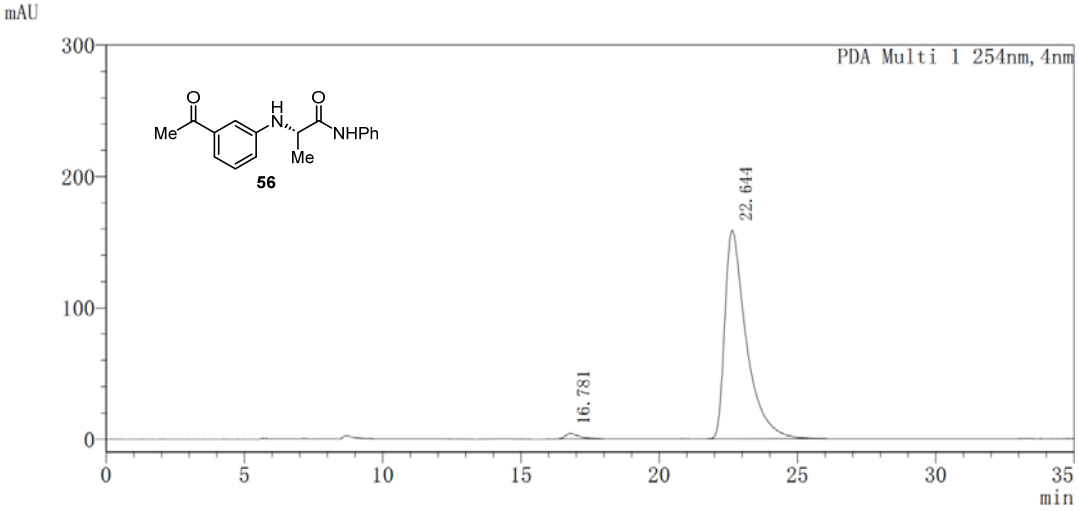
Peak#	Ret. Time	Area	Area%
1	16.913	393575	2.329
2	26.448	16507176	97.671



Peak Table

PDA Ch1 254nm

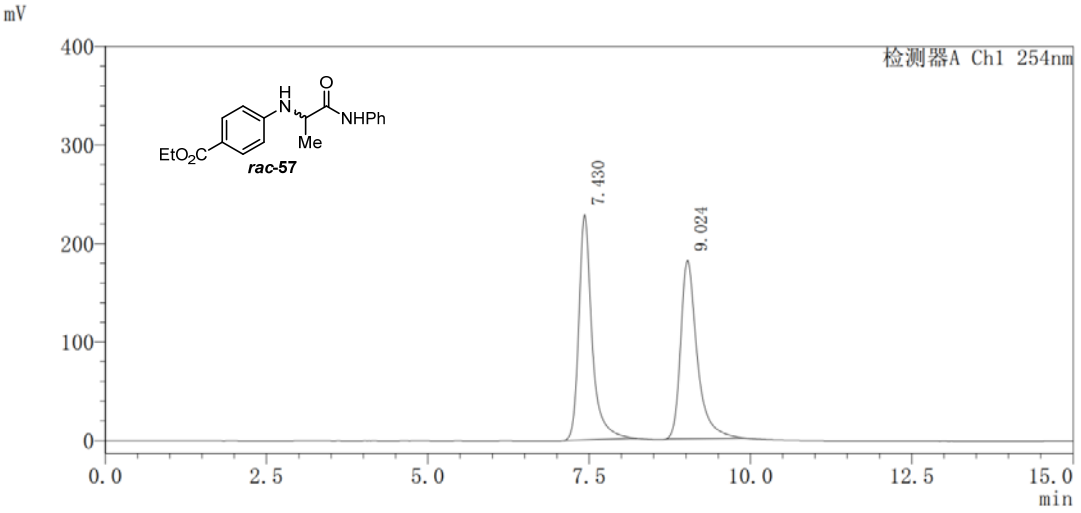
Peak#	Ret. Time	Area	Area%
1	16.769	8497771	50.198
2	22.634	8430771	49.802



Peak Table

PDA Ch1 254nm

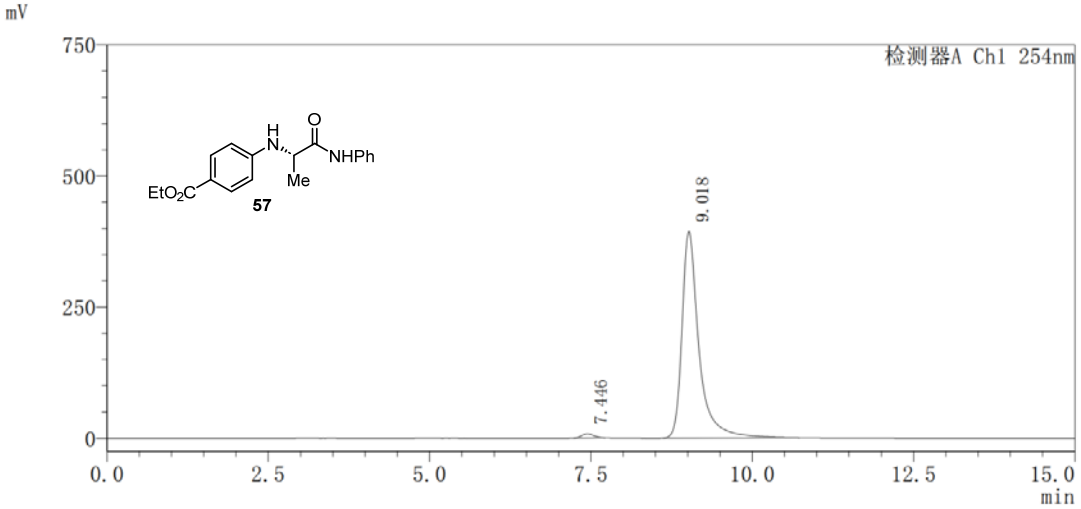
Peak#	Ret. Time	Area	Area%
1	16.781	142859	1.624
2	22.644	8655992	98.376



Peak Table

检测器A Ch1 254nm

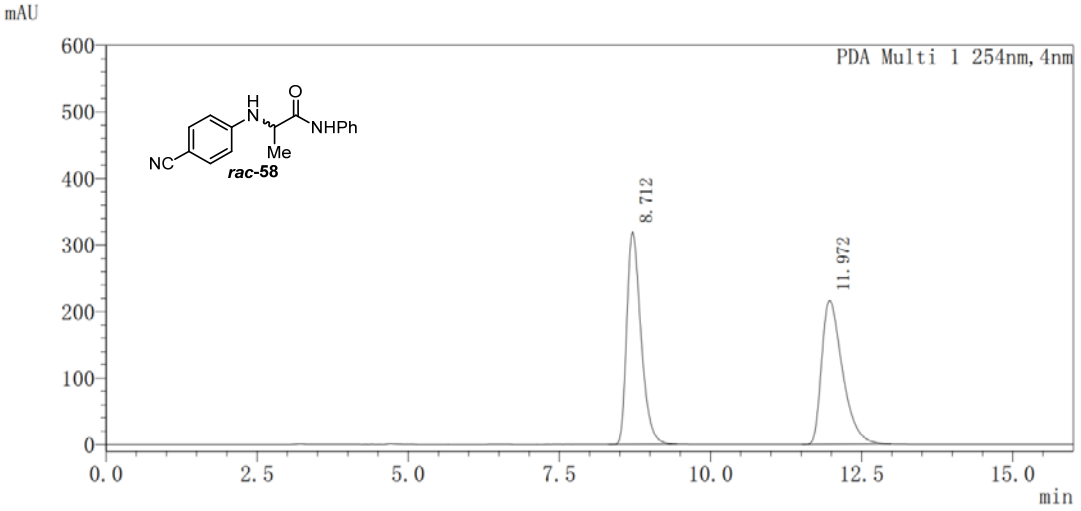
Peak#	Ret. Time	Area	Area%
1	7.430	3269203	50.524
2	9.024	3201334	49.476



Peak Table

检测器A Ch1 254nm

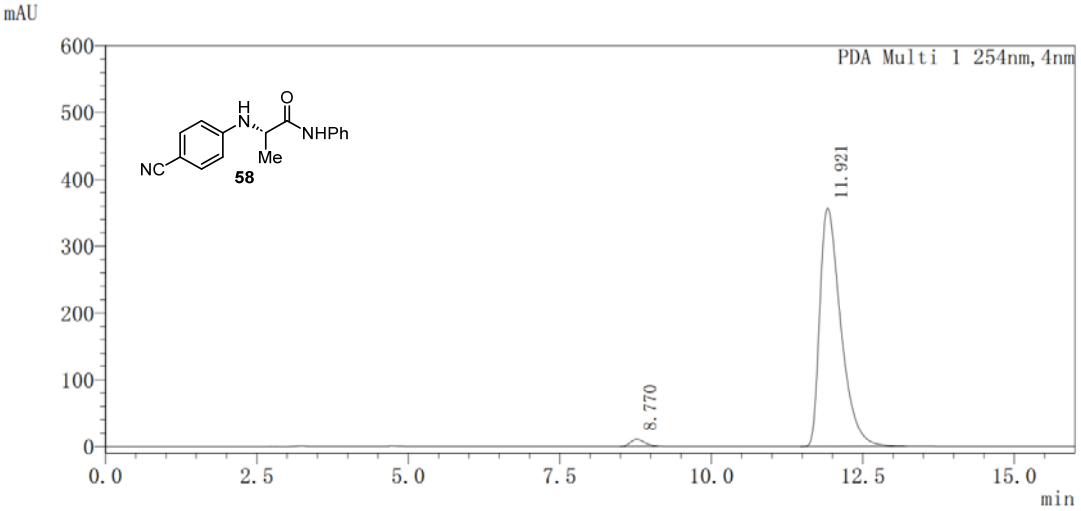
Peak#	Ret. Time	Area	Area%
1	7.446	101219	1.398
2	9.018	7140419	98.602



Peak Table

PDA Ch1 254nm

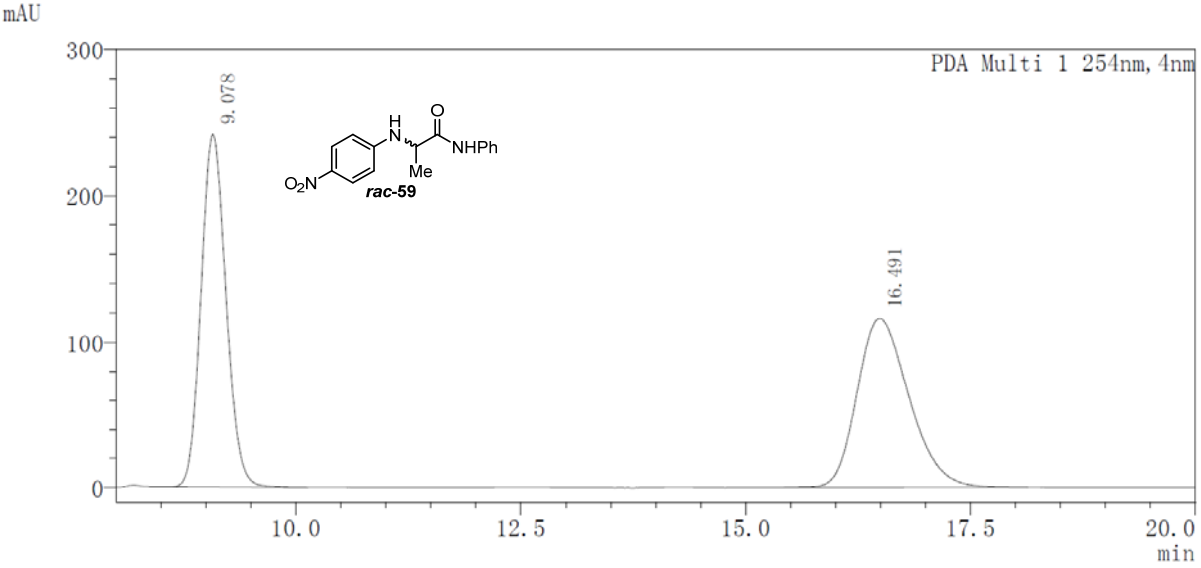
Peak#	Ret. Time	Area	Area%
1	8.712	5221940	50.120
2	11.972	5196902	49.880



Peak Table

PDA Ch1 254nm

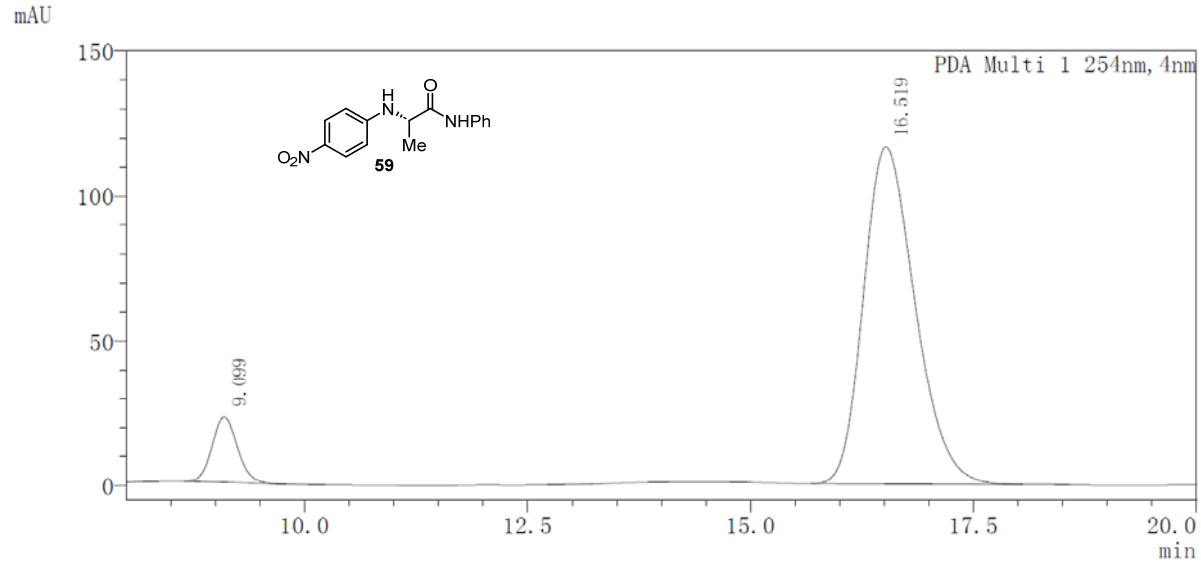
Peak#	Ret. Time	Area	Area%
1	8.770	165420	1.890
2	11.921	8586065	98.110



Peak Table

PDA Ch1 254nm

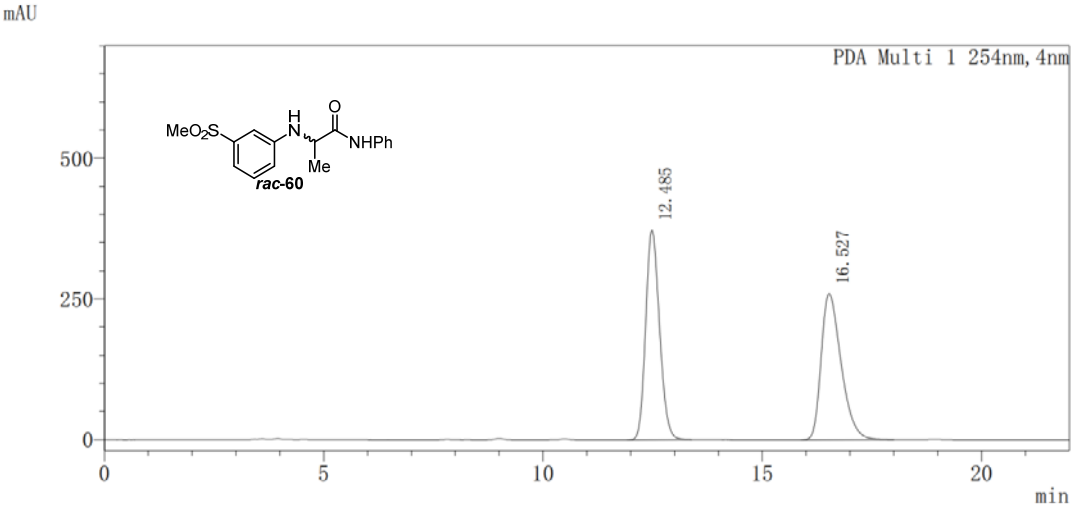
Peak#	Ret. Time	Area	Area%
1	9.078	4664357	49.963
2	16.491	4671176	50.037



Peak Table

PDA Ch1 254nm

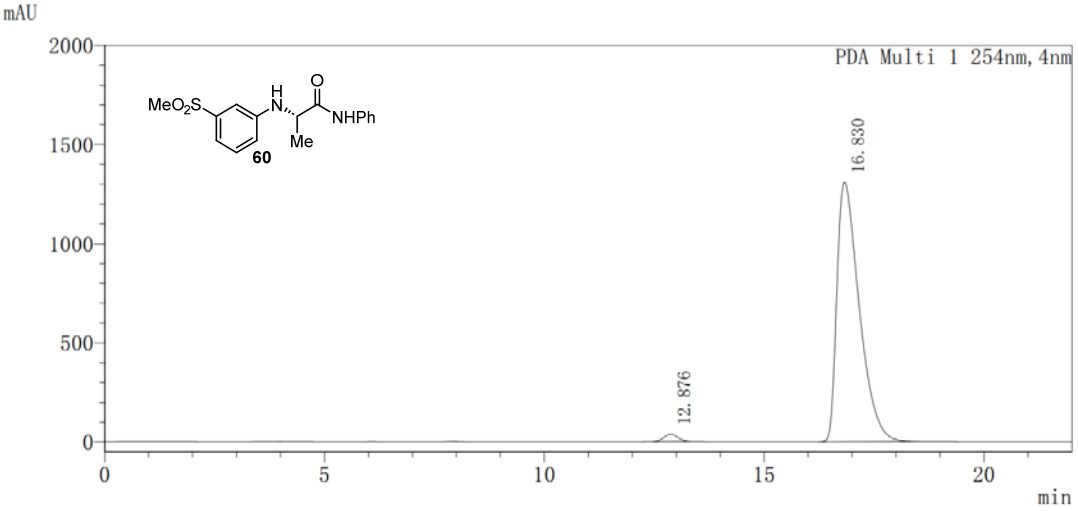
Peak#	Ret. Time	Area	Area%
1	9.099	440773	8.615
2	16.519	4675545	91.385



Peak Table

PDA Ch1 254nm

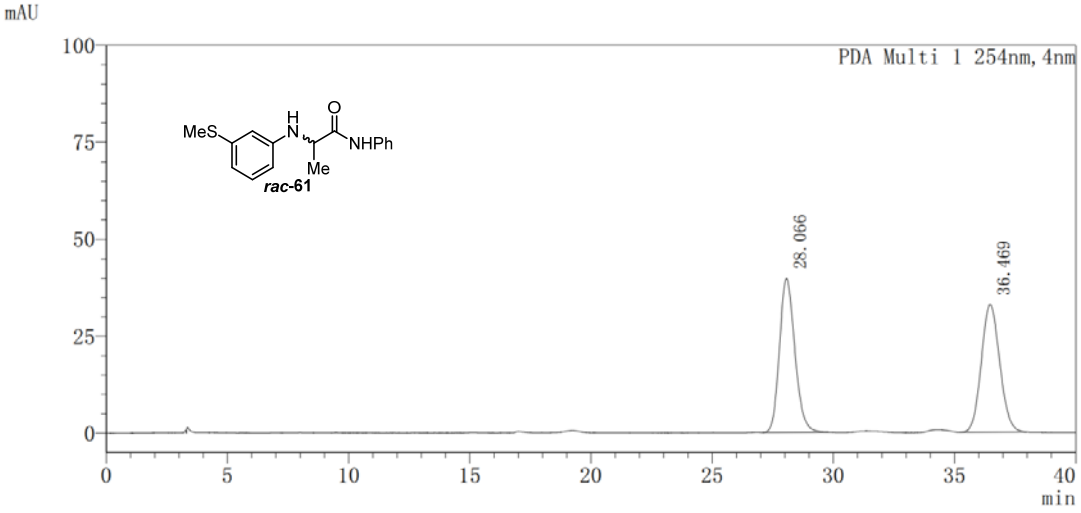
Peak#	Ret. Time	Area	Area%
1	12.485	8163017	49.921
2	16.527	8188879	50.079



Peak Table

PDA Ch1 254nm

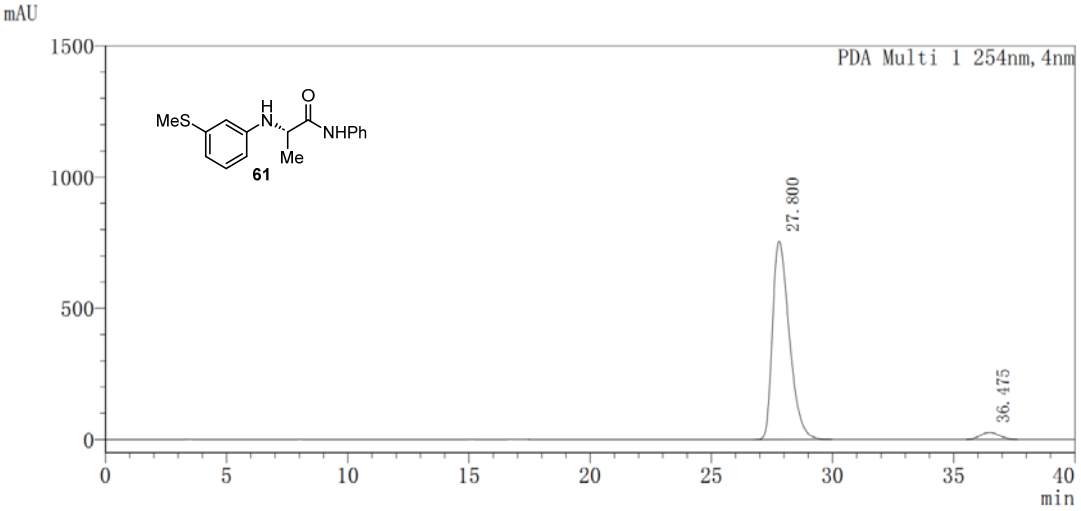
Peak#	Ret. Time	Area	Area%
1	12.876	818210	1.739
2	16.830	46243167	98.261



Peak Table

PDA Ch1 254nm

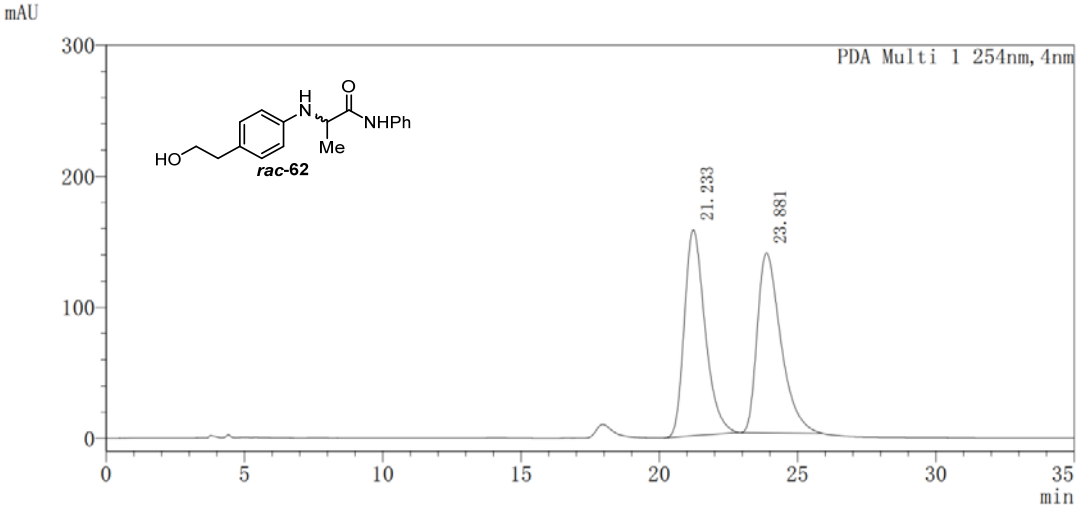
Peak#	Ret. Time	Area	Area%
1	28.066	1749010	50.170
2	36.469	1737174	49.830



Peak Table

PDA Ch1 254nm

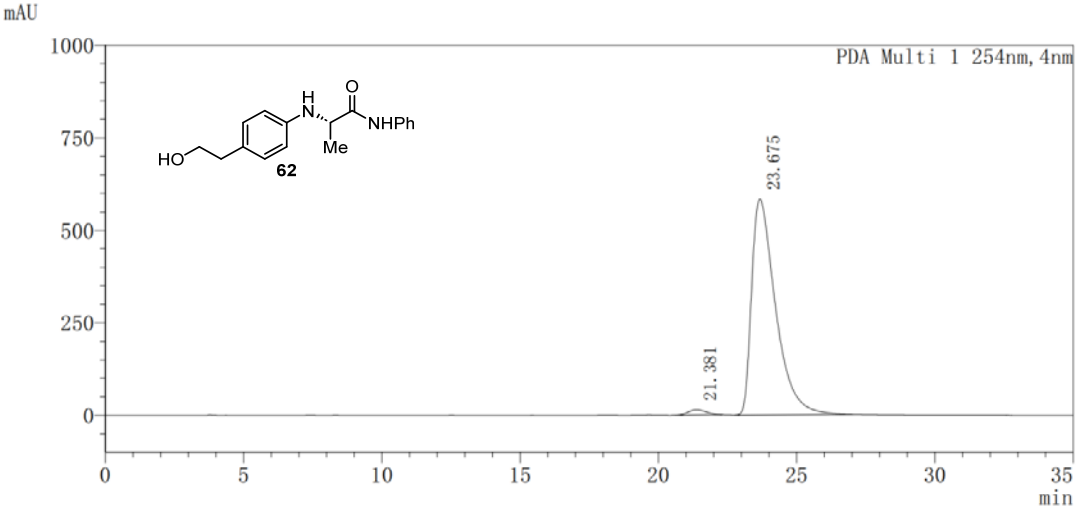
Peak#	Ret. Time	Area	Area%
1	27.800	36526882	96.145
2	36.475	1464433	3.855



Peak Table

PDA Ch1 254nm

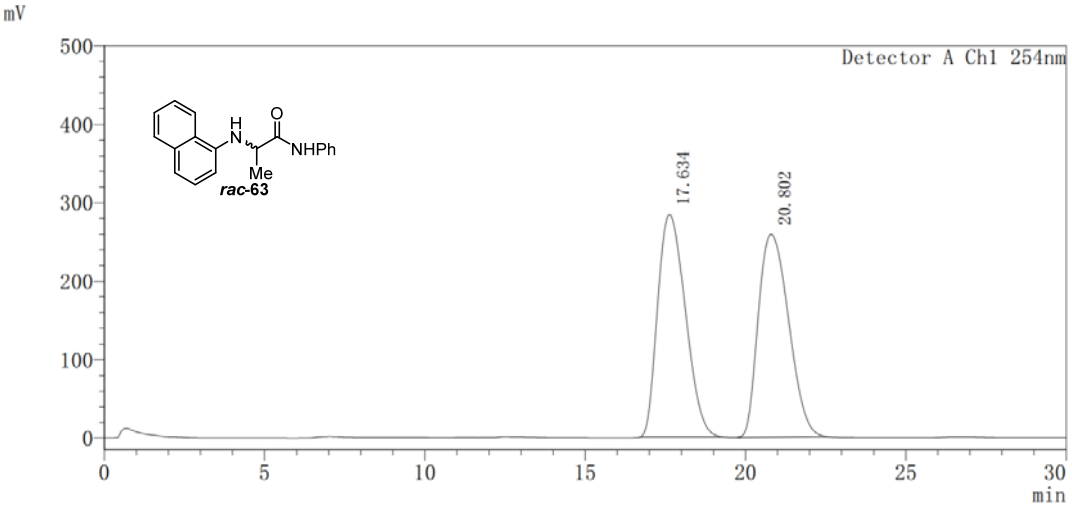
Peak#	Ret. Time	Area	Area%
1	21.233	8212455	50.102
2	23.881	8179049	49.898



Peak Table

PDA Ch1 254nm

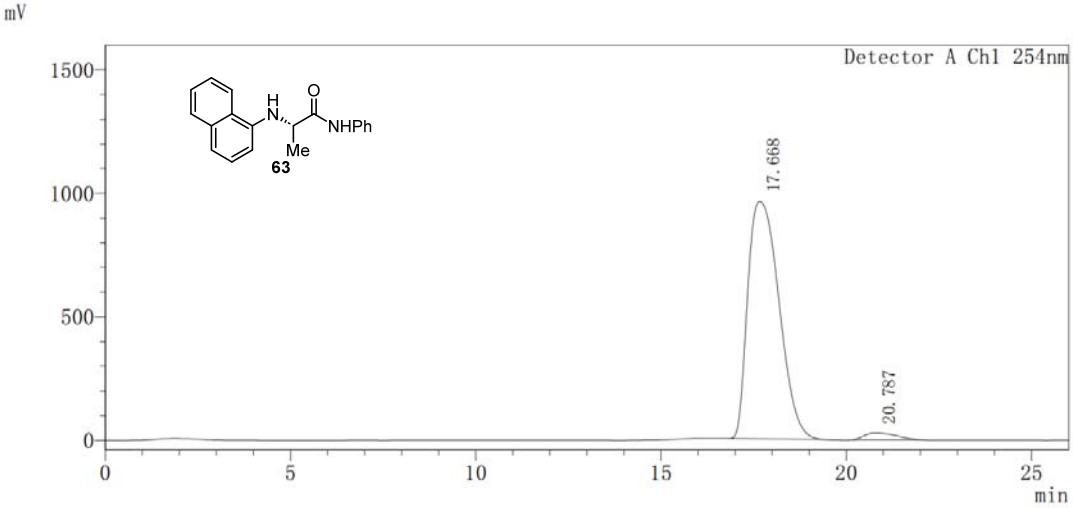
Peak#	Ret. Time	Area	Area%
1	21.381	660744	1.847
2	23.675	35120608	98.153



Peak Table

Detector A Ch1 254nm

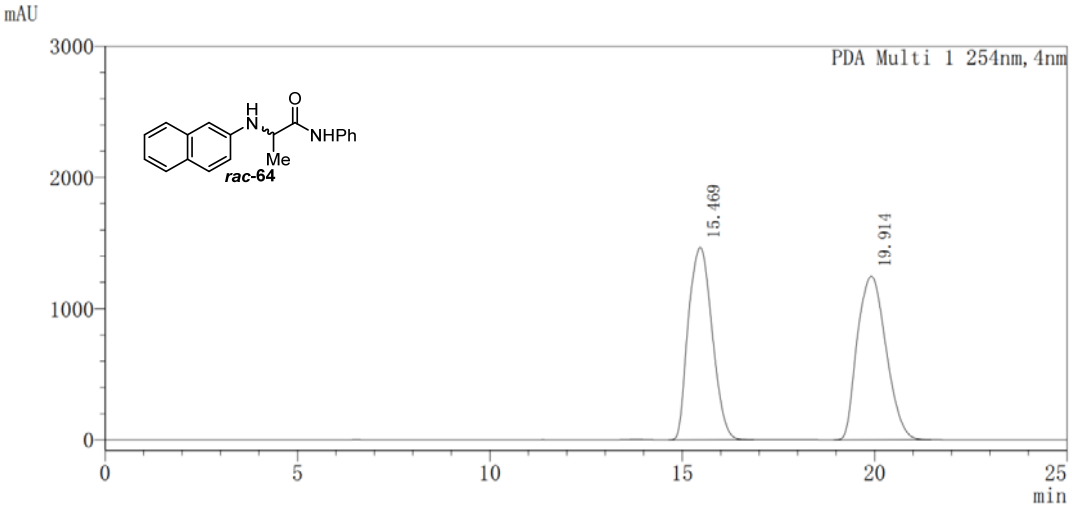
Peak#	Ret. Time	Area	Area%
1	17.634	17012598	49.902
2	20.802	17079695	50.098



Peak Table

Detector A Ch1 254nm

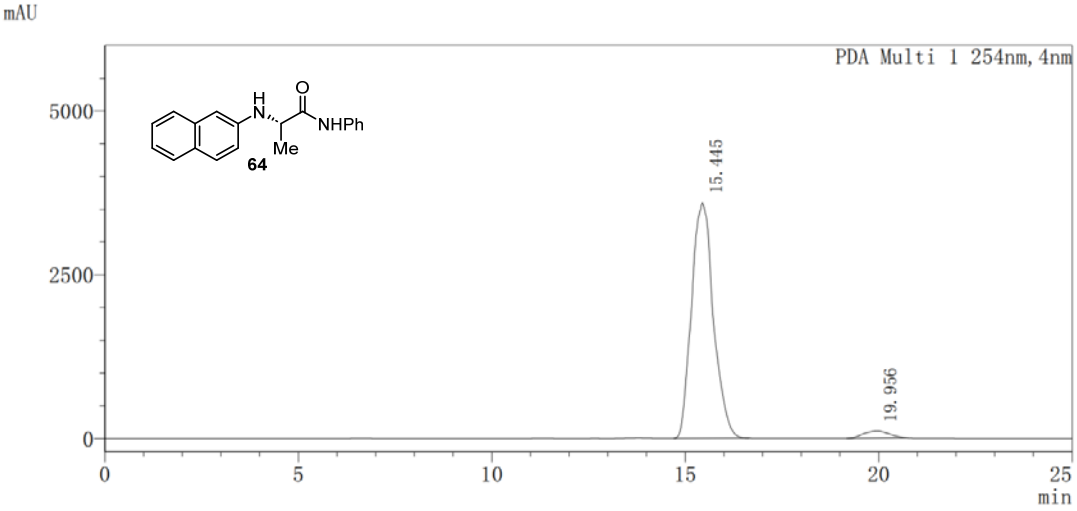
Peak#	Ret. Time	Area	Area%
1	17.668	56357470	97.301
2	20.787	1563478	2.699



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.469	63145372	49.290
2	19.914	64965811	50.710

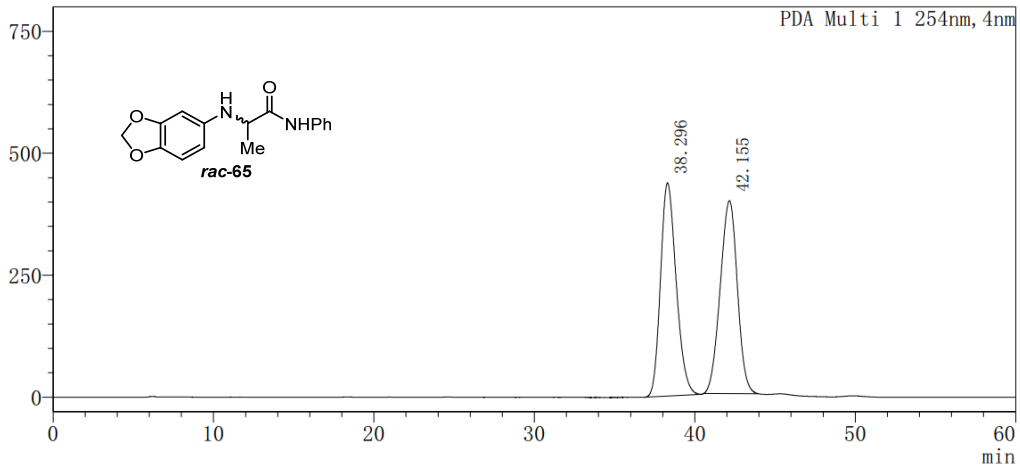


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.445	141433283	96.579
2	19.956	5009872	3.421

mAU

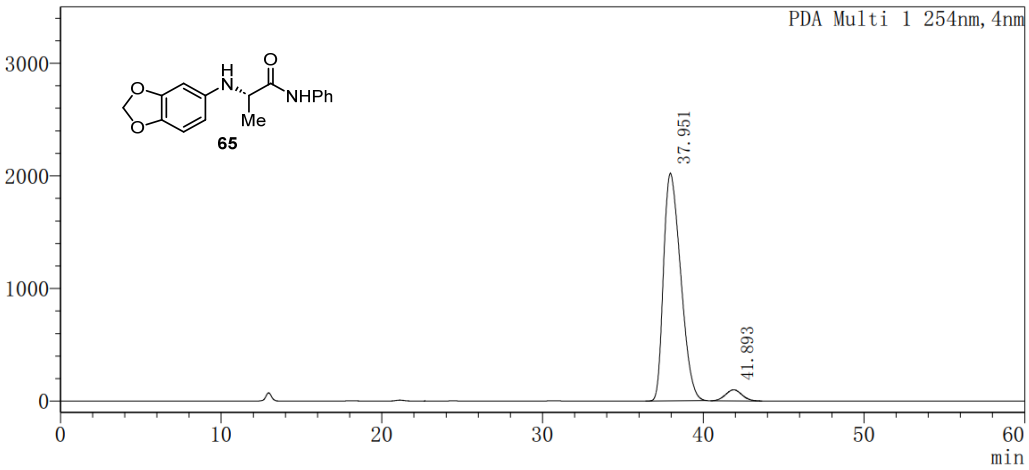


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	38.296	29659145	50.174
2	42.155	29453338	49.826

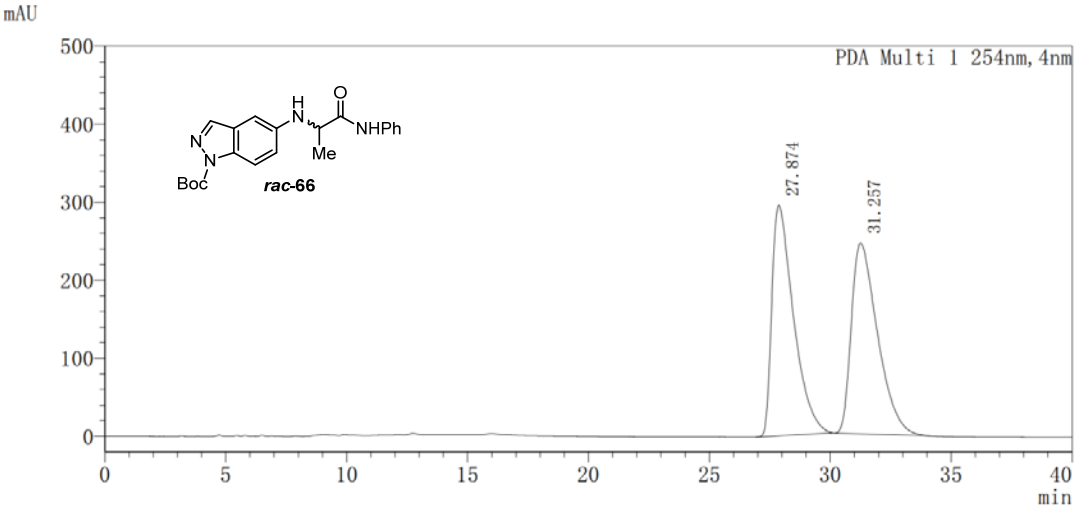
mAU



Peak Table

PDA Ch1 254nm

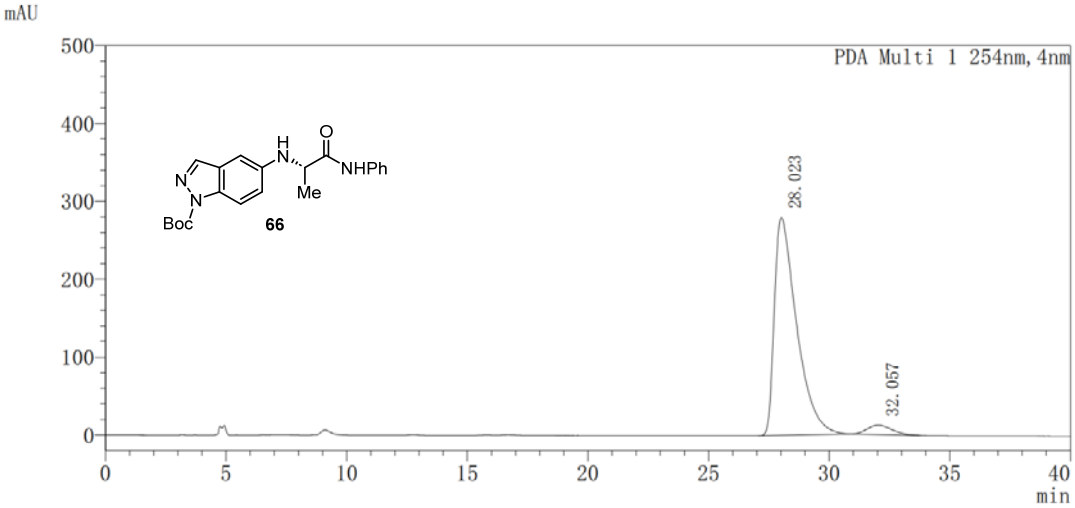
Peak#	Ret. Time	Area	Area%
1	37.951	149954649	95.528
2	41.893	7019324	4.472



Peak Table

PDA Ch1 254nm

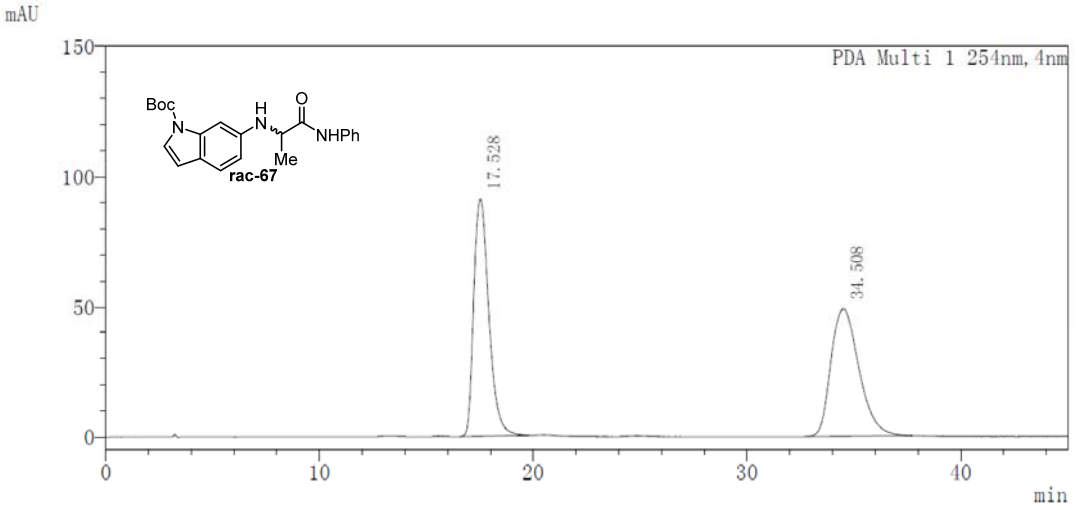
Peak#	Ret. Time	Area	Area%
1	27.874	18408196	49.940
2	31.257	18452298	50.060



Peak Table

PDA Ch1 254nm

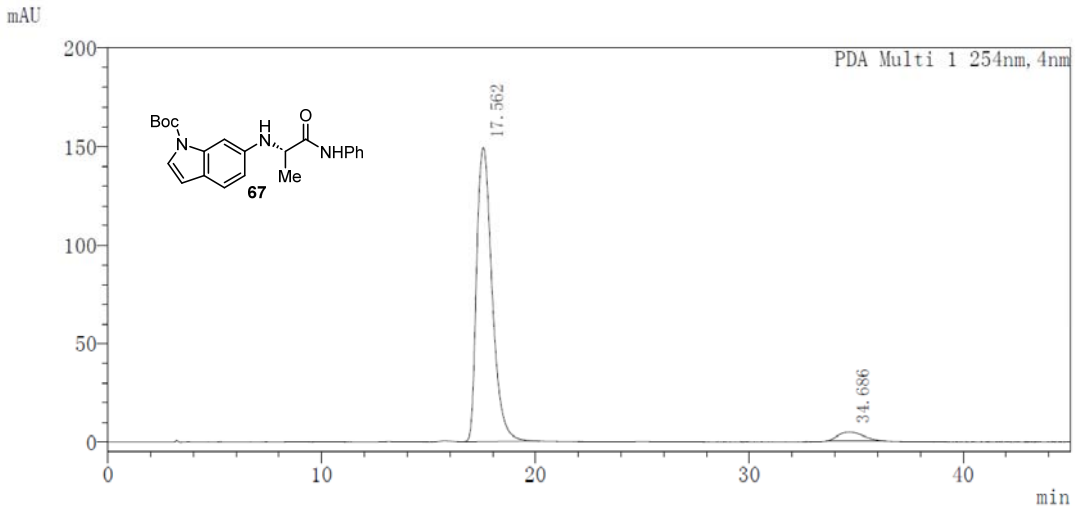
Peak#	Ret. Time	Area	Area%
1	28.023	17989280	95.473
2	32.057	853070	4.527



Peak Table

PDA Ch1 254nm

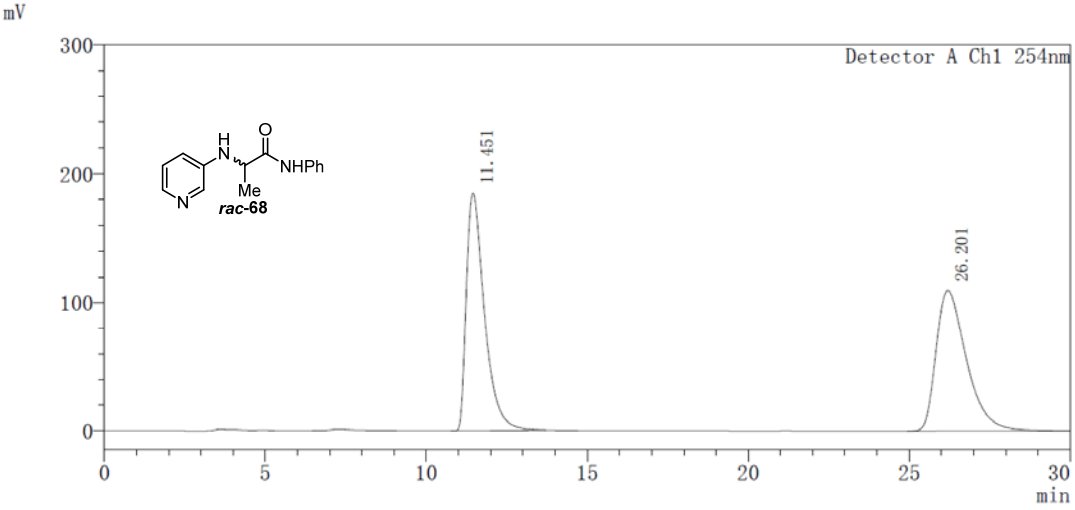
Peak#	Ret. Time	Area	Area%
1	17.528	4478376	49.994
2	34.508	4479390	50.006



Peak Table

PDA Ch1 254nm

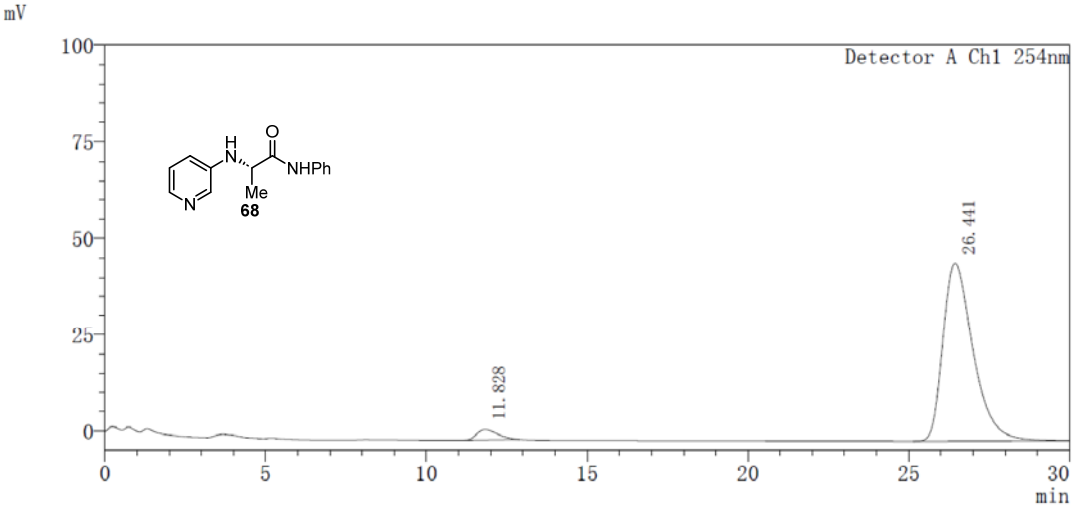
Peak#	Ret. Time	Area	Area%
1	17.562	7525137	95.028
2	34.686	393765	4.972



Peak Table

Detector A Ch1 254nm

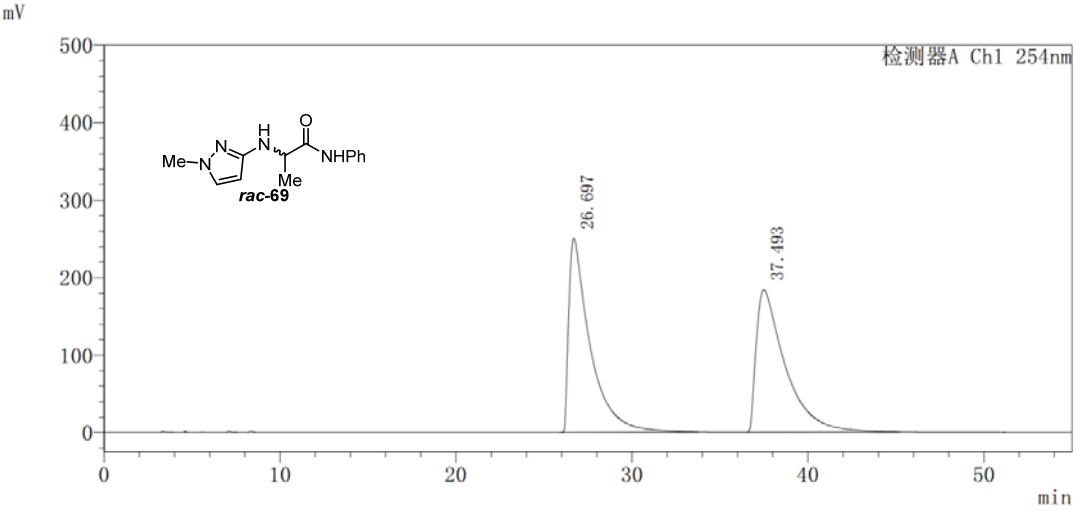
Peak#	Ret. Time	Area	Area%
1	11.451	7232965	50.146
2	26.201	7190715	49.854



Peak Table

Detector A Ch1 254nm

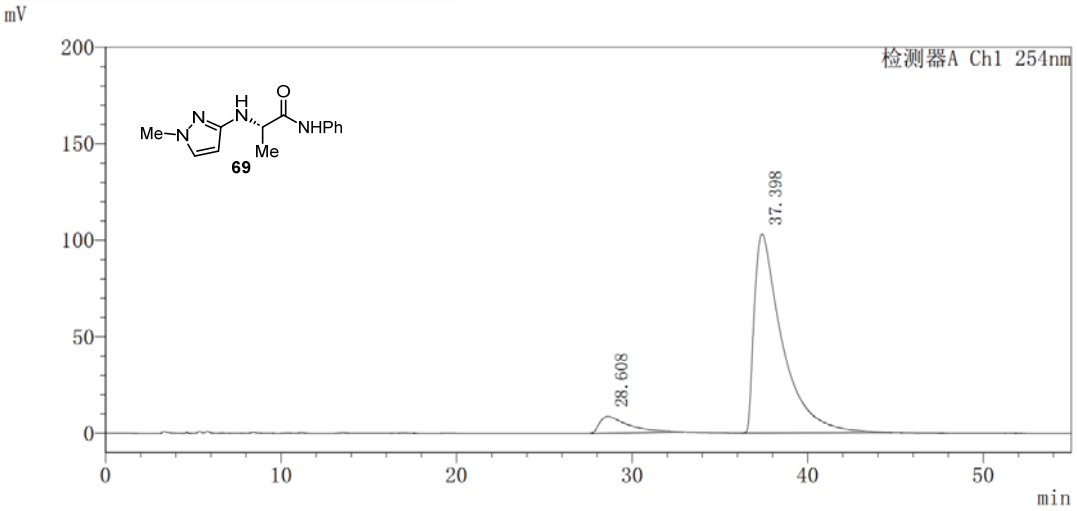
Peak#	Ret. Time	Area	Area%
1	11.828	126791	4.015
2	26.441	3031161	95.985



Peak Table

检测器A Ch1 254nm

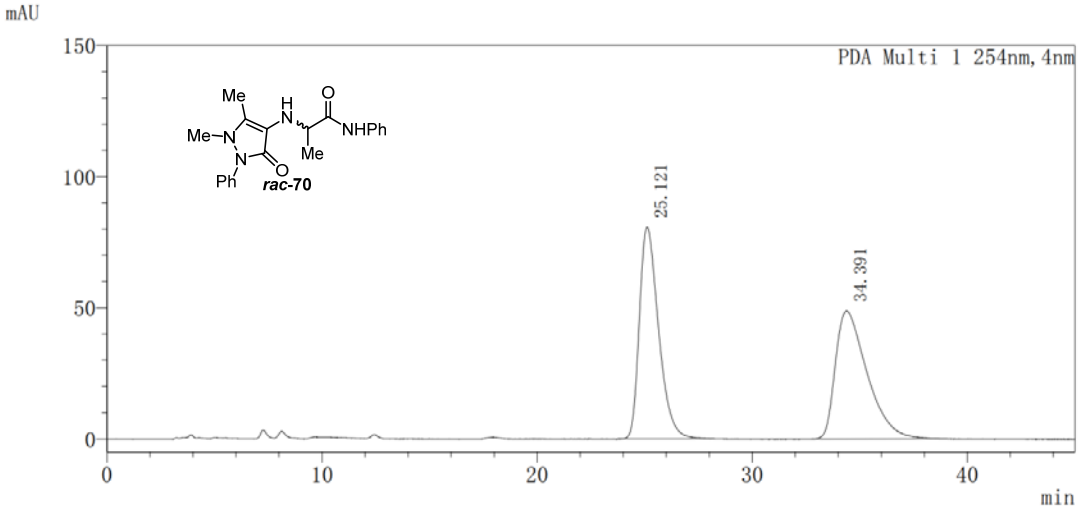
Peak#	Ret. Time	Area	Area%
1	26.697	21214385	50.104
2	37.493	21126658	49.896



Peak Table

检测器A Ch1 254nm

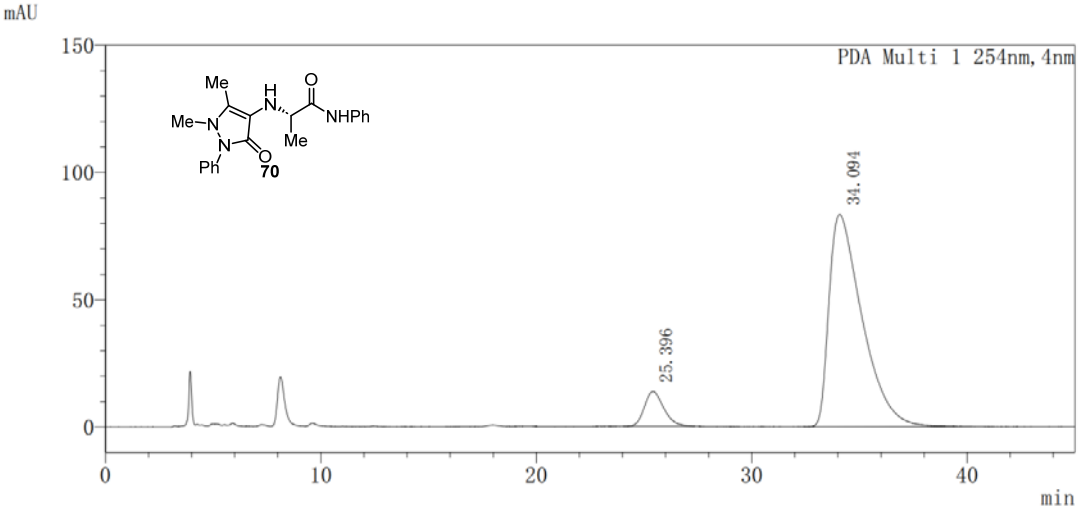
Peak#	Ret. Time	Area	Area%
1	28.608	978067	7.936
2	37.398	11346983	92.064



Peak Table

PDA Ch1 254nm

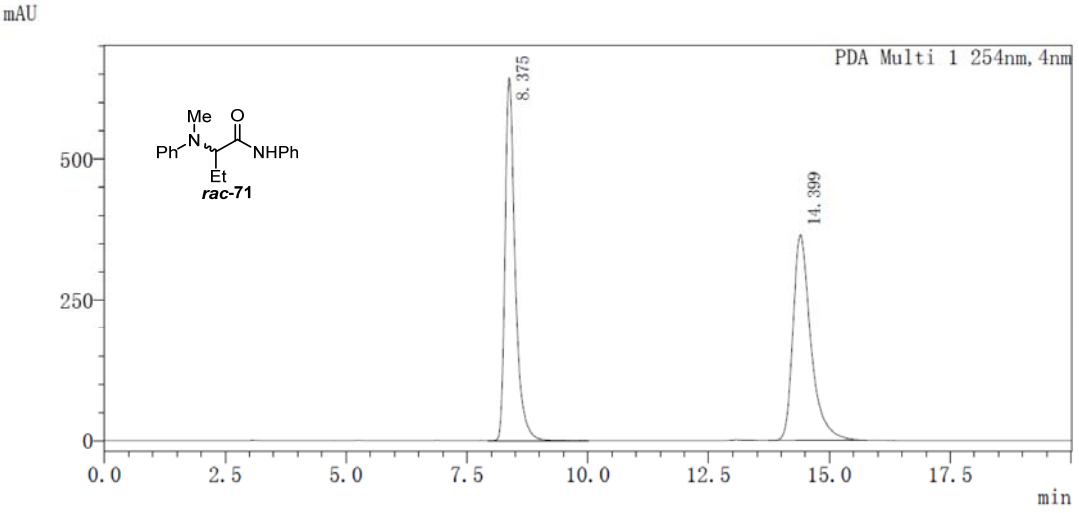
Peak#	Ret. Time	Area	Area%
1	25.121	5014627	50.002
2	34.391	5014314	49.998



Peak Table

PDA Ch1 254nm

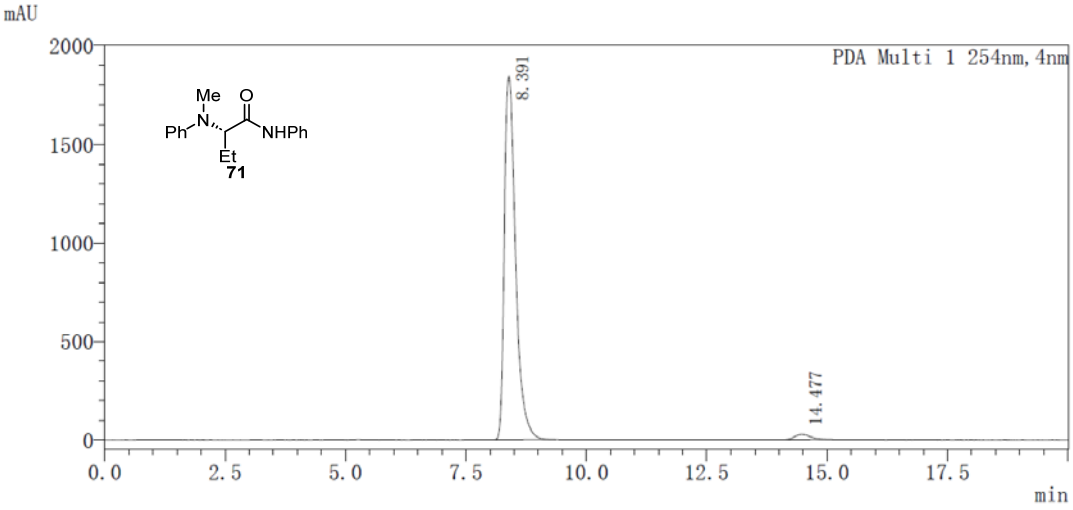
Peak#	Ret. Time	Area	Area%
1	25.396	847139	8.688
2	34.094	8903910	91.312



Peak Table

PDA Ch1 254nm

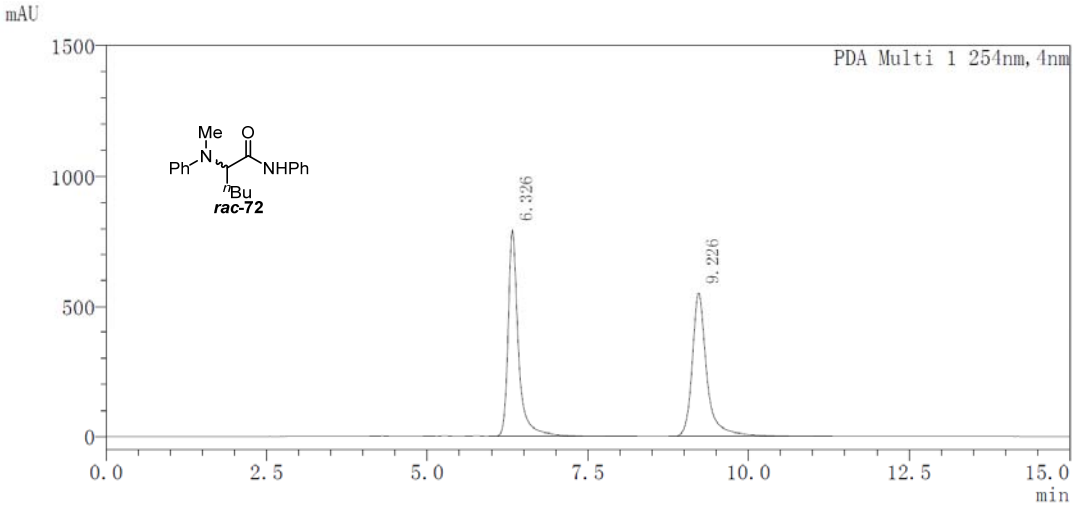
Peak#	Ret. Time	Area	Area%
1	8.375	9314825	49.966
2	14.399	9327616	50.034



Peak Table

PDA Ch1 254nm

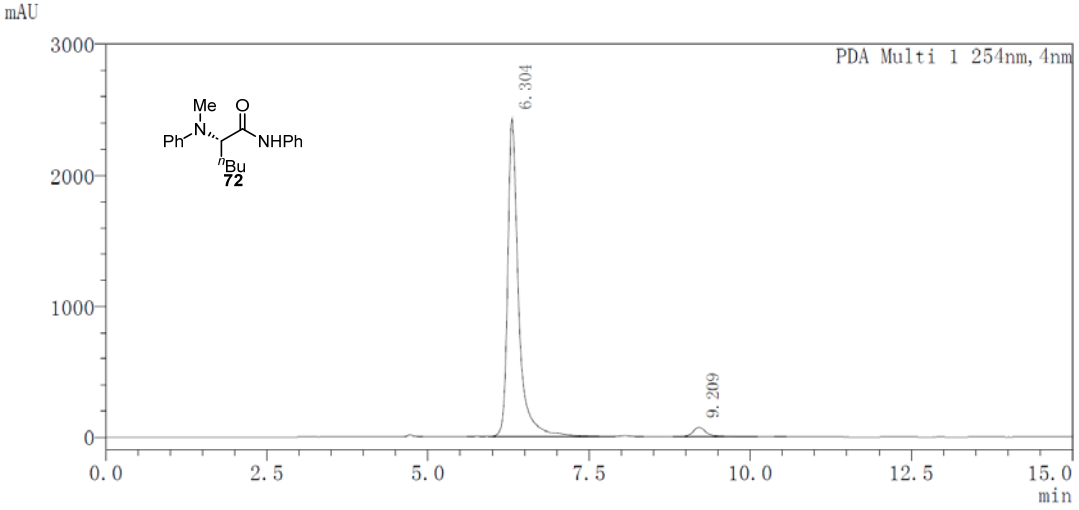
Peak#	Ret. Time	Area	Area%
1	8.391	29967214	97.897
2	14.477	643720	2.103



Peak Table

PDA Ch1 254nm

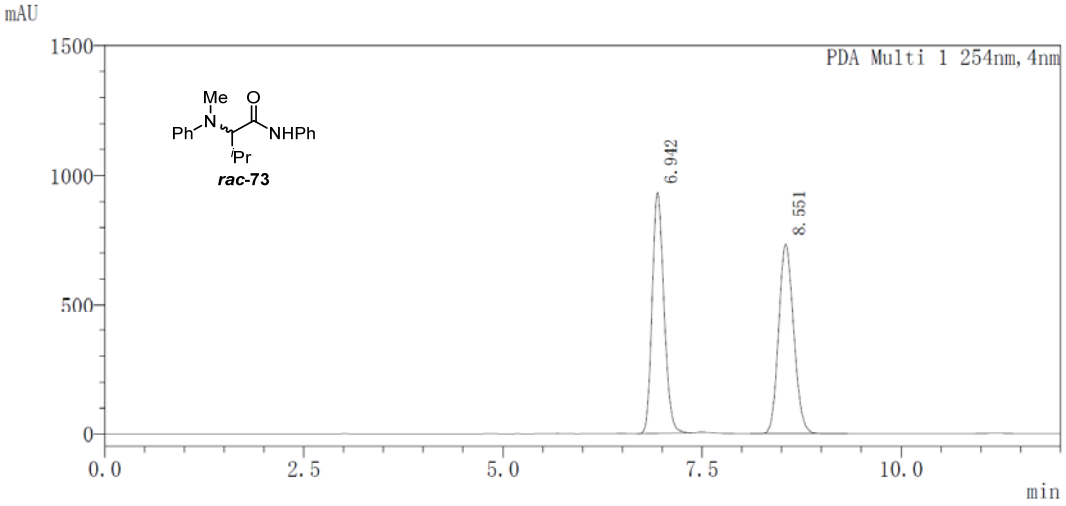
Peak#	Ret. Time	Area	Area%
1	6.326	8878656	50.170
2	9.226	8818465	49.830



Peak Table

PDA Ch1 254nm

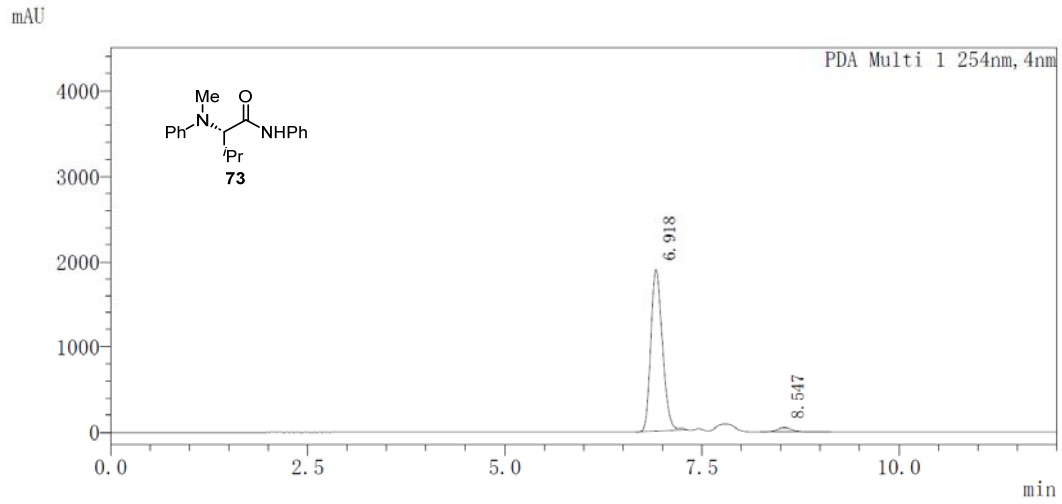
Peak#	Ret. Time	Area	Area%
1	6.304	28575324	96.280
2	9.209	1104118	3.720



Peak Table

PDA Ch1 254nm

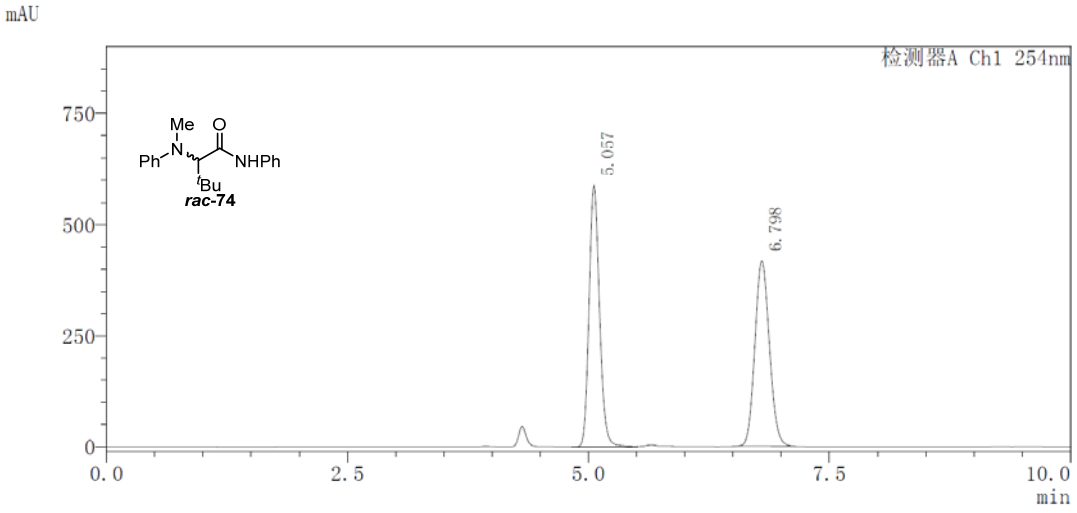
Peak#	Ret. Time	Area	Area%
1	6.942	9479317	49.865
2	8.551	9530745	50.135



Peak Table

PDA Ch1 254nm

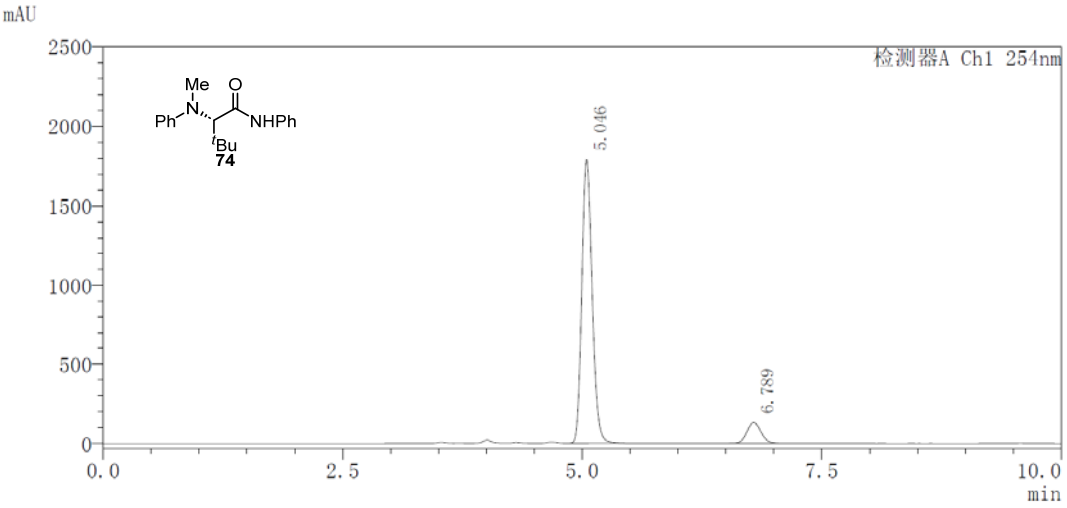
Peak#	Ret. Time	Area	Area%
1	6.918	19191015	96.576
2	8.547	680441	3.424



Peak Table

检测器A Ch1 254nm

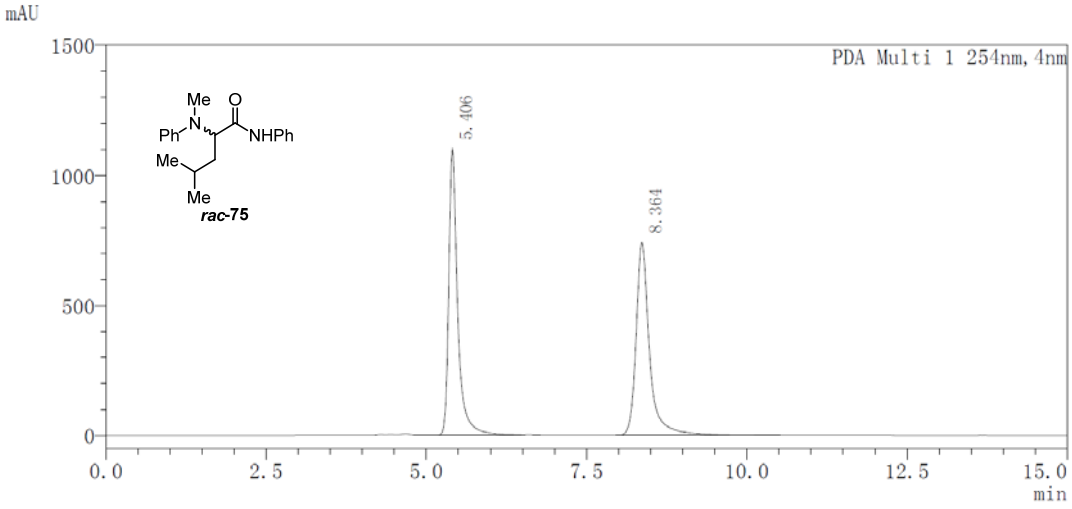
Peak#	Ret. Time	Area	Area%
1	5.057	4457018	50.444
2	6.798	4378492	49.556



Peak Table

检测器A Ch1 254nm

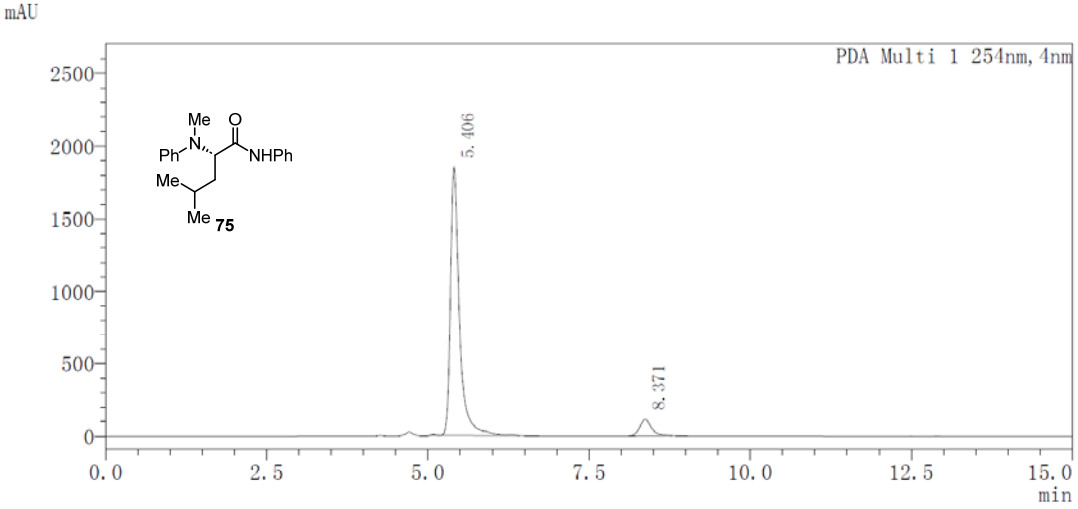
Peak#	Ret. Time	Area	Area%
1	5.046	13458742	90.554
2	6.789	1403876	9.446



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	5.406	10677067	49.506
2	8.364	10890016	50.494

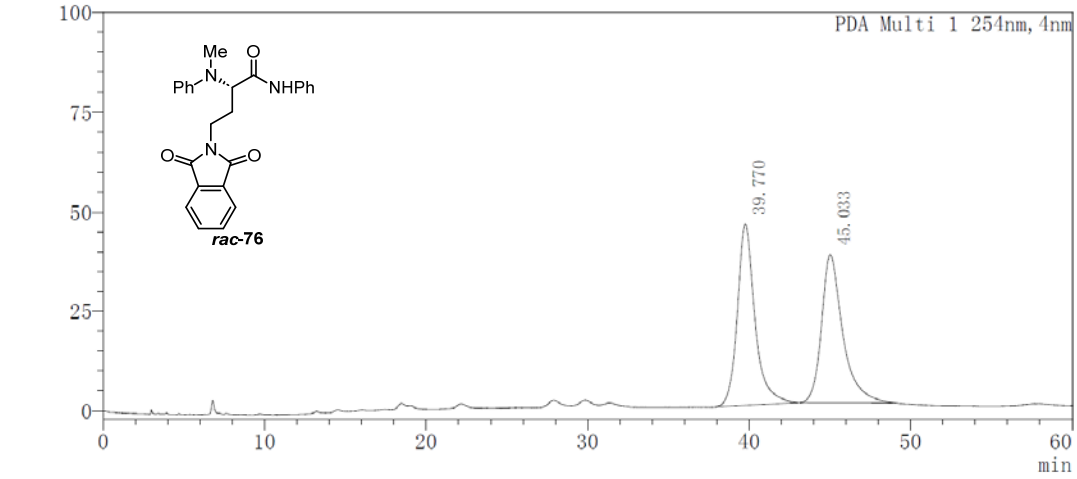


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	5.406	18066819	92.817
2	8.371	1398113	7.183

mAU

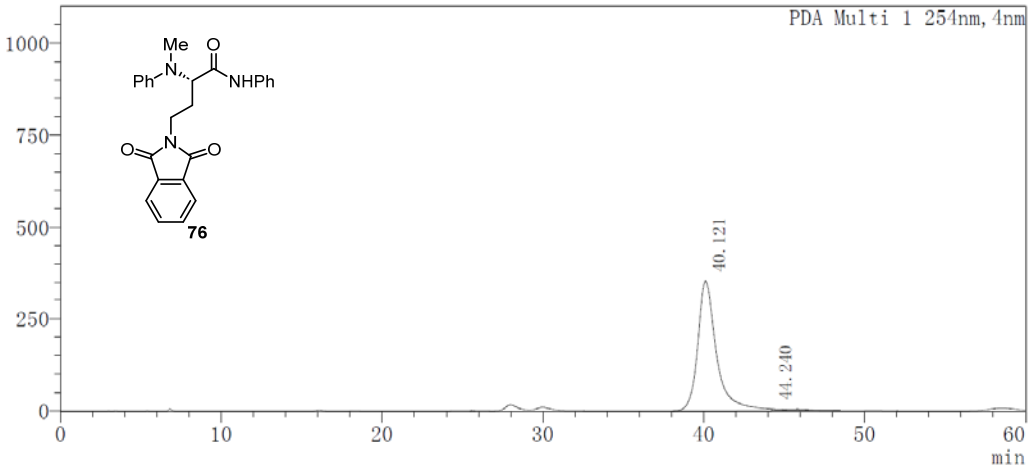


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	39.770	3449070	50.312
2	45.033	3406270	49.688

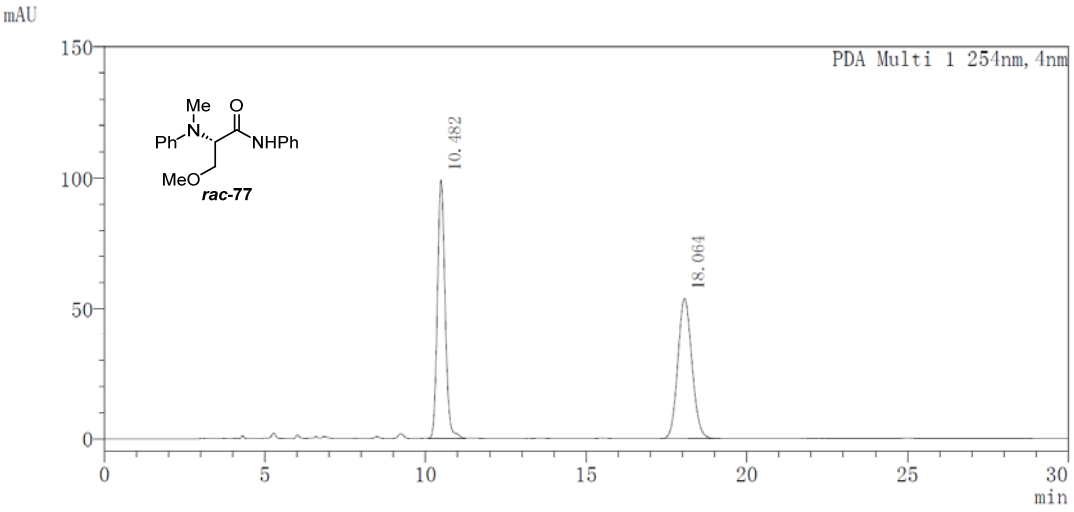
mAU



Peak Table

PDA Ch1 254nm

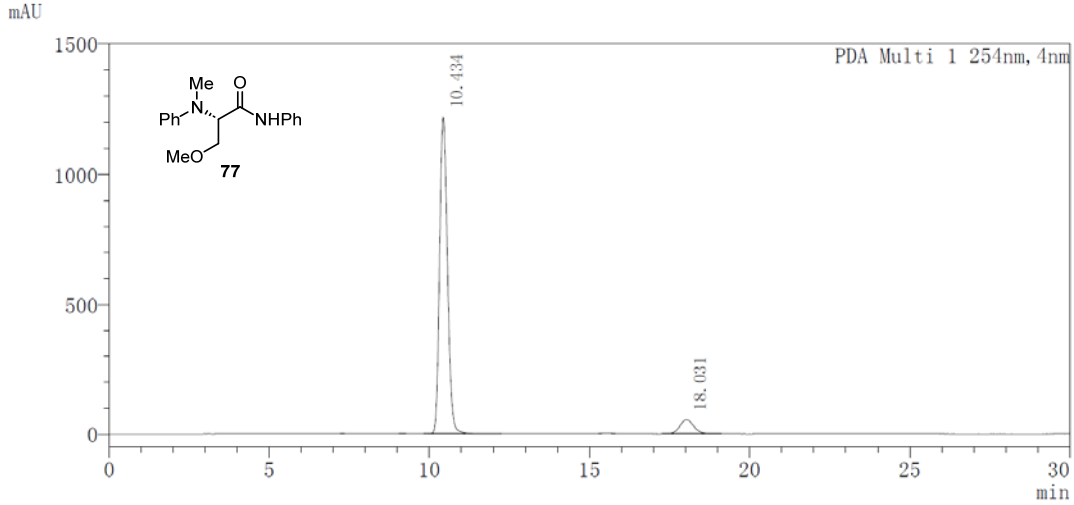
Peak#	Ret. Time	Area	Area%
1	40.121	28563629	97.958
2	44.240	595561	2.042



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.482	1643745	50.112
2	18.064	1636379	49.888

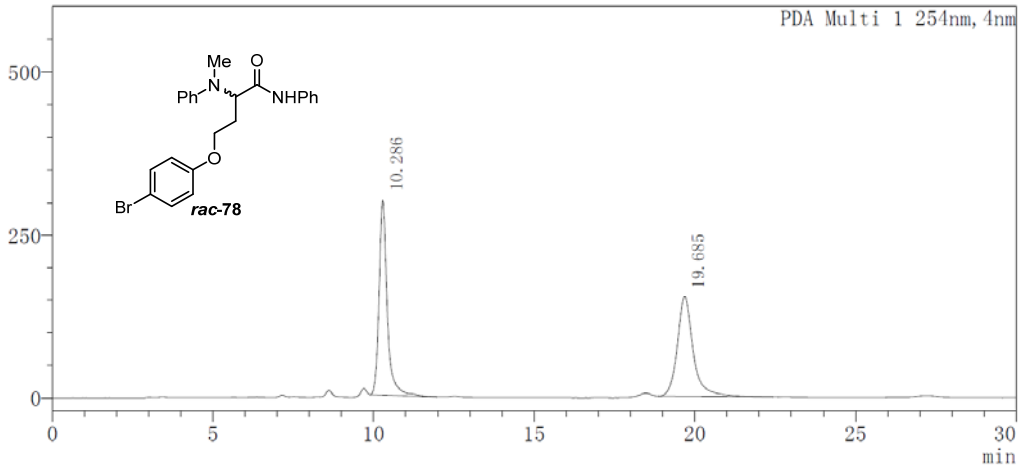


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.434	20837793	92.532
2	18.031	1681776	7.468

mAU

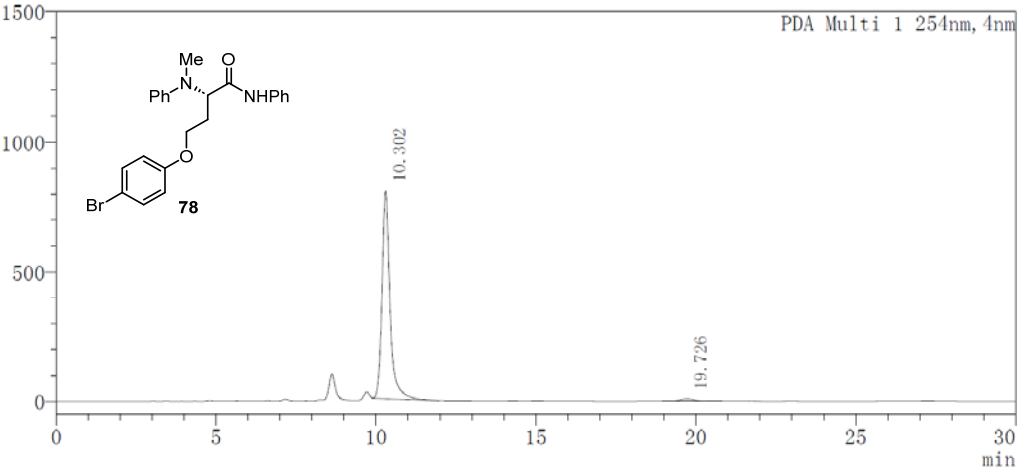


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.286	5293707	49.830
2	19.685	5329828	50.170

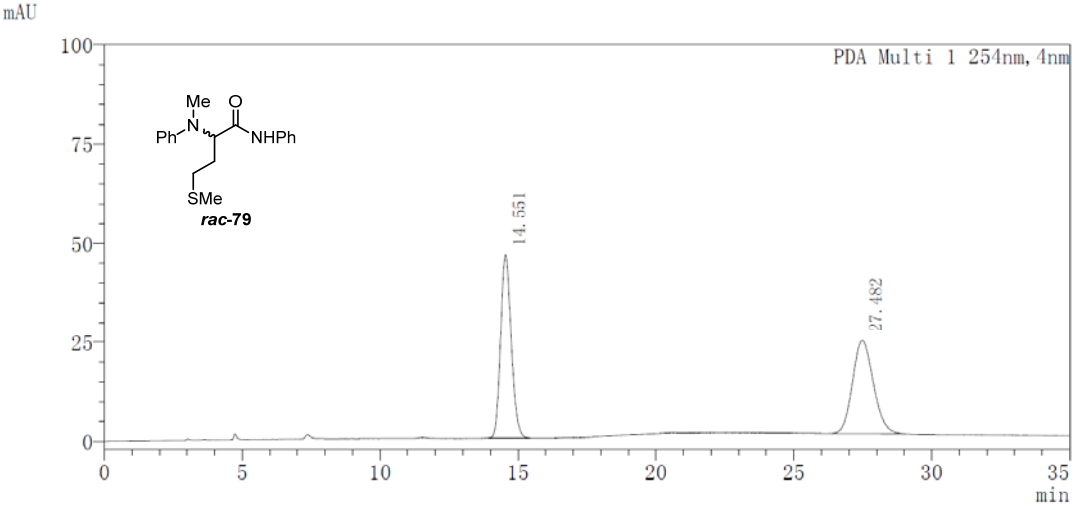
mAU



Peak Table

PDA Ch1 254nm

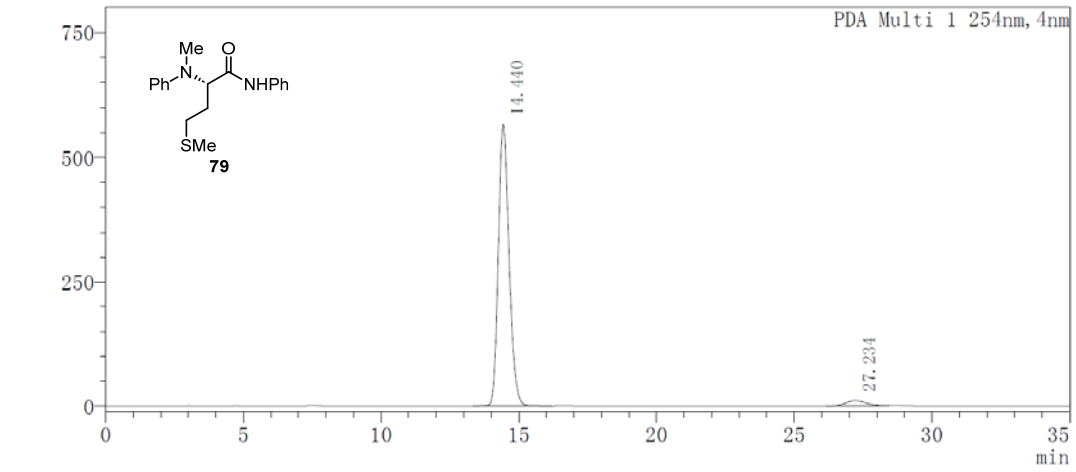
Peak#	Ret. Time	Area	Area%
1	10.302	14001066	97.690
2	19.726	331119	2.310



Peak Table

PDA Ch1 254nm

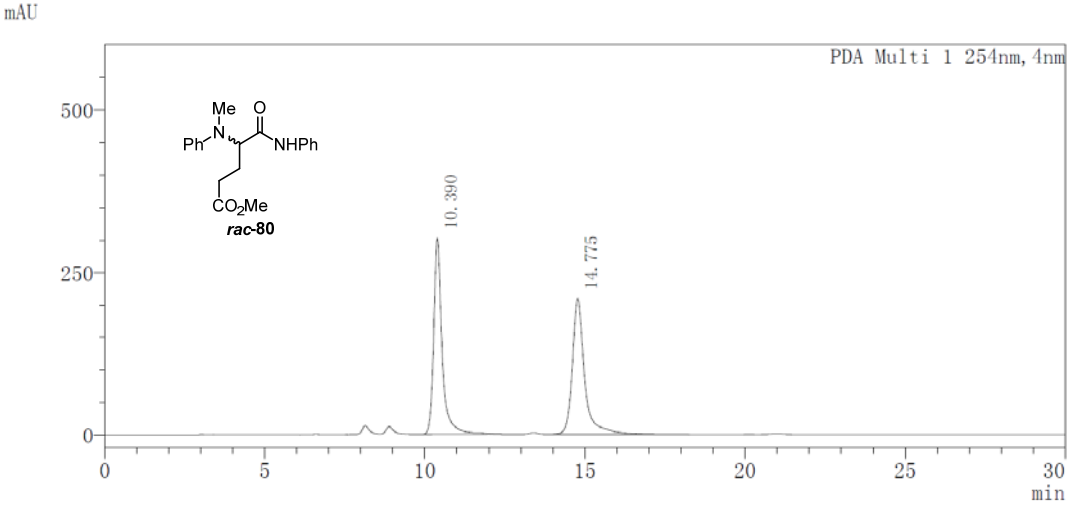
Peak#	Ret. Time	Area	Area%
1	14.551	1243883	50.188
2	27.482	1234578	49.812



Peak Table

PDA Ch1 254nm

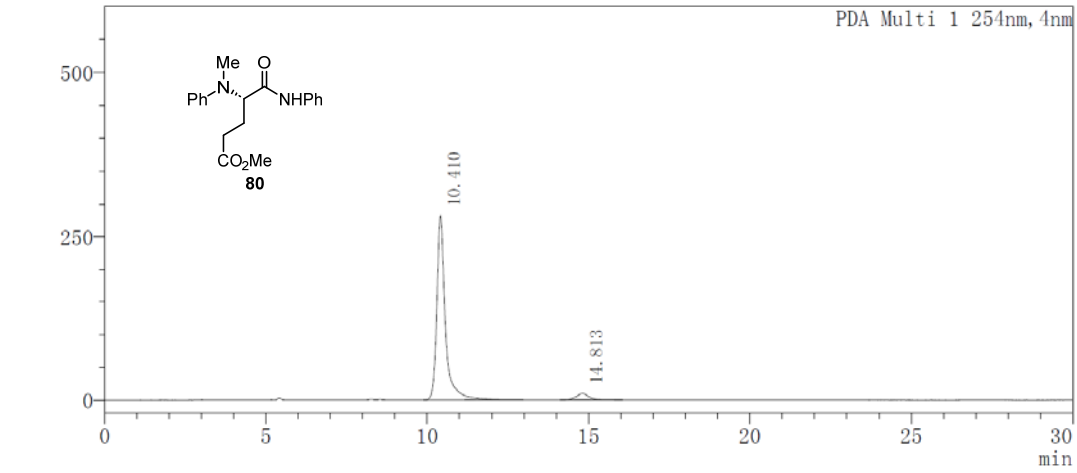
Peak#	Ret. Time	Area	Area%
1	14.440	15377019	96.608
2	27.234	539978	3.392



Peak Table

PDA Ch1 254nm

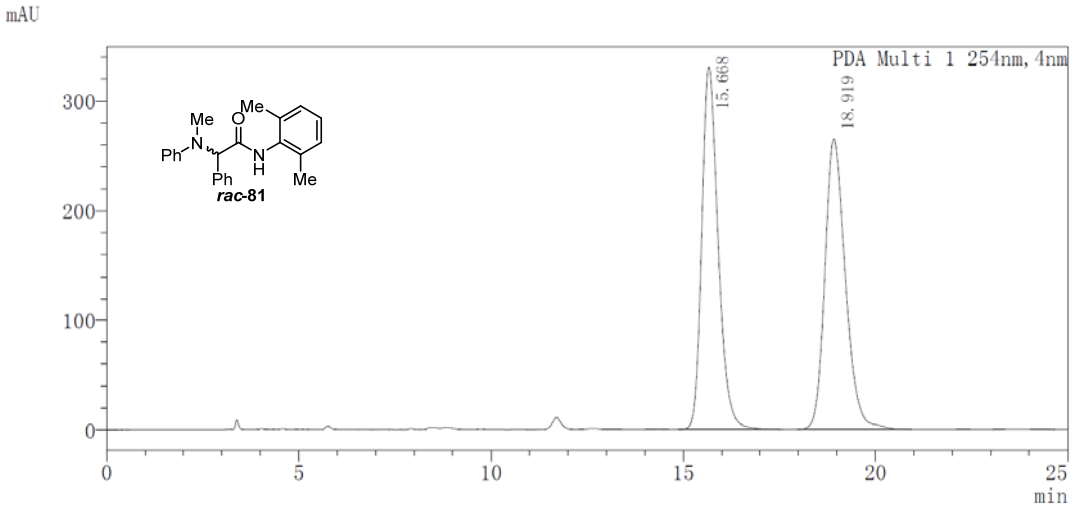
Peak#	Ret. Time	Area	Area%
1	10.390	5582456	49.778
2	14.775	5632191	50.222



Peak Table

PDA Ch1 254nm

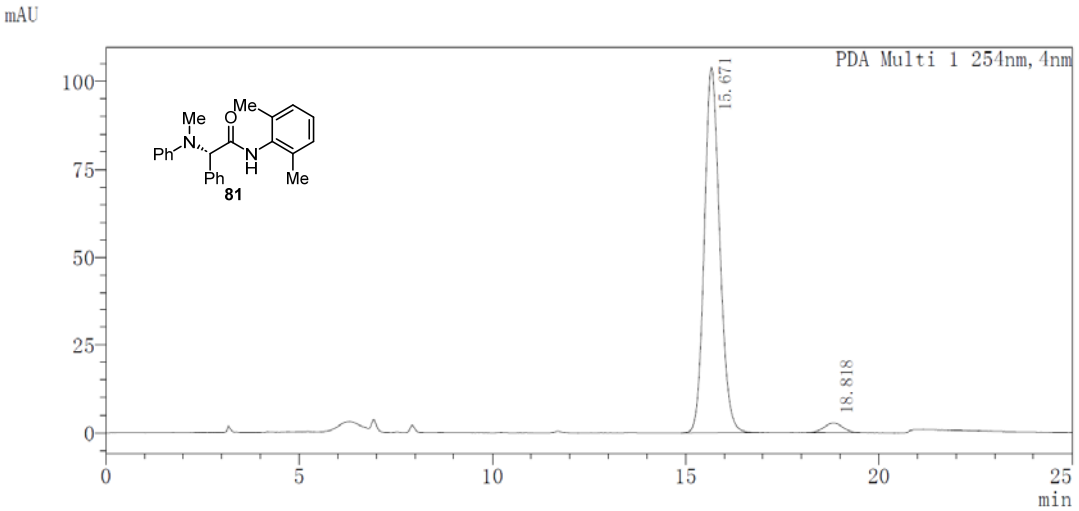
Peak#	Ret. Time	Area	Area%
1	10.410	5190742	94.951
2	14.813	276020	5.049



Peak Table

PDA Ch1 254nm

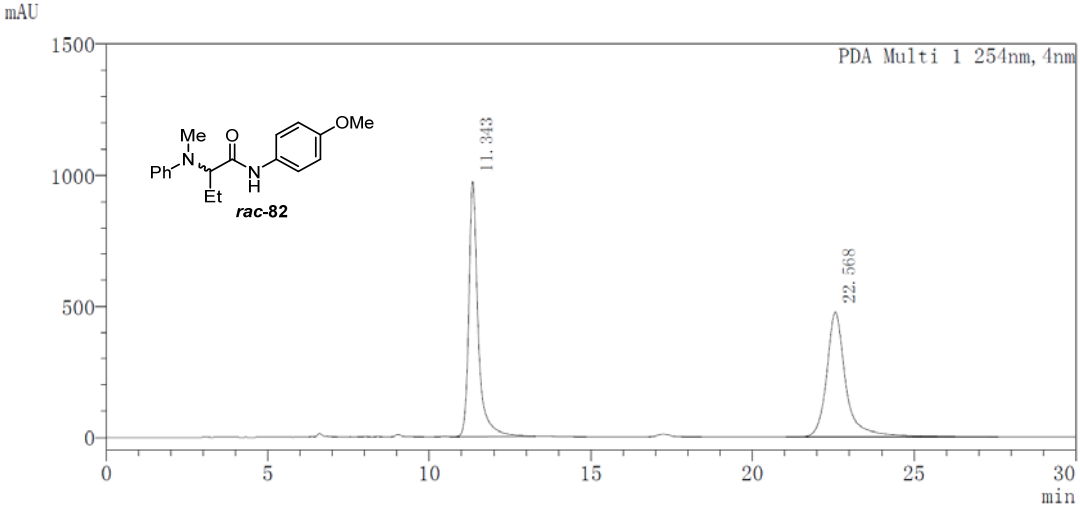
Peak#	Ret. Time	Area	Area%
1	15.668	9778324	49.802
2	18.919	9856204	50.198



Peak Table

PDA Ch1 254nm

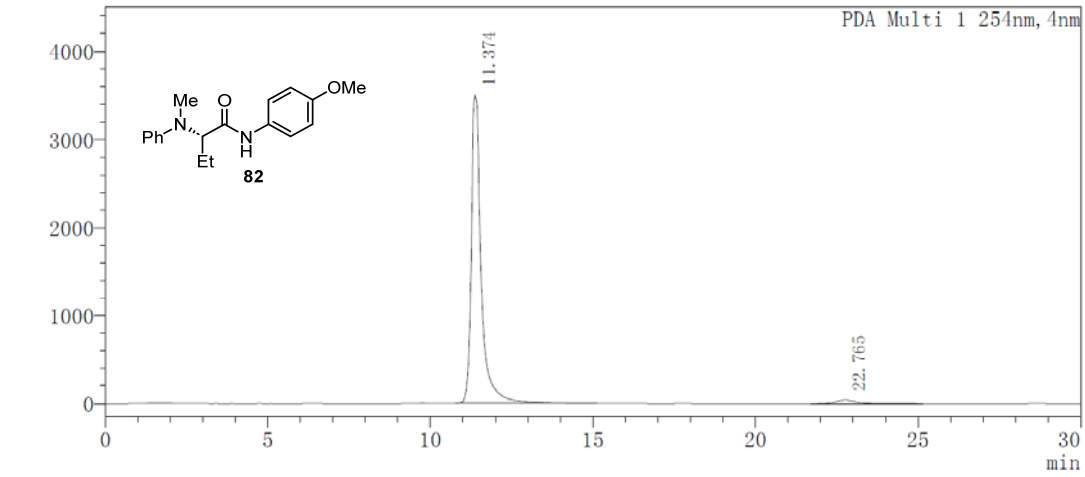
Peak#	Ret. Time	Area	Area%
1	15.671	2876703	96.925
2	18.818	91263	3.075



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.343	19548161	49.561
2	22.568	19894307	50.439

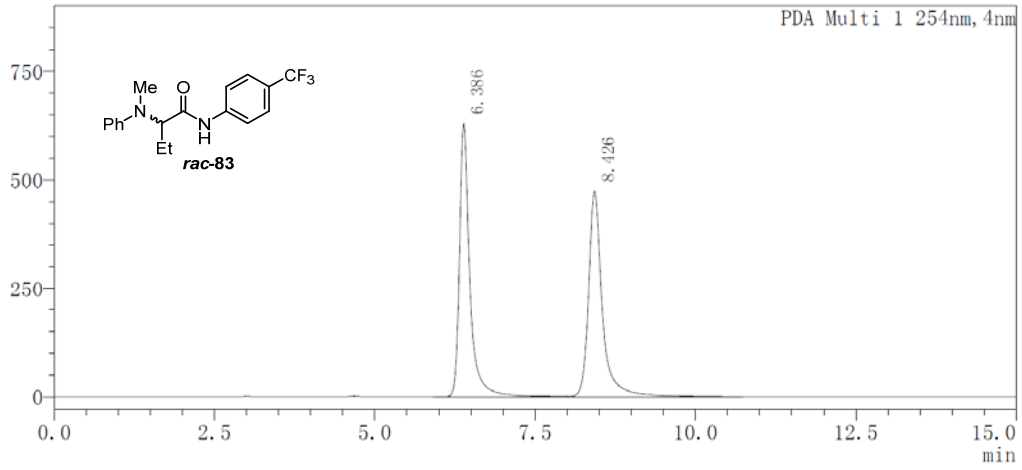


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.374	74546398	97.804
2	22.765	1674184	2.196

mAU

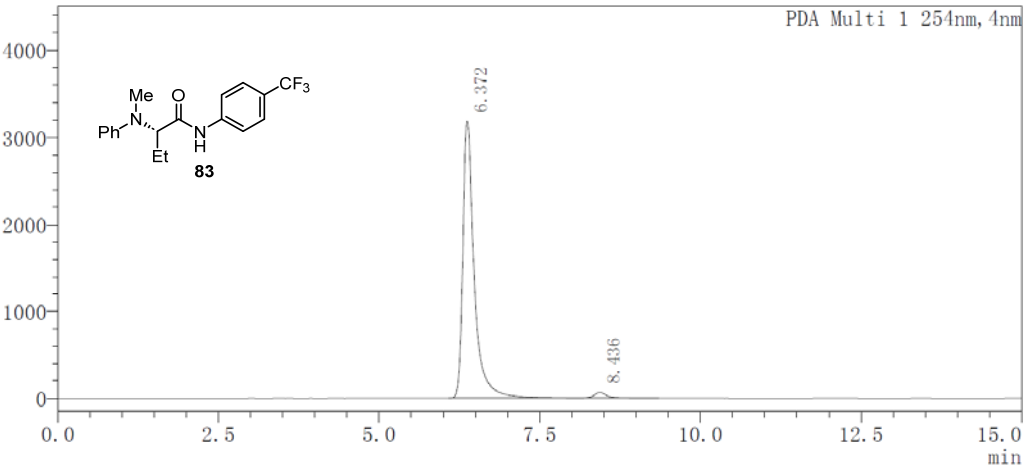


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.386	7090840	49.958
2	8.426	7102800	50.042

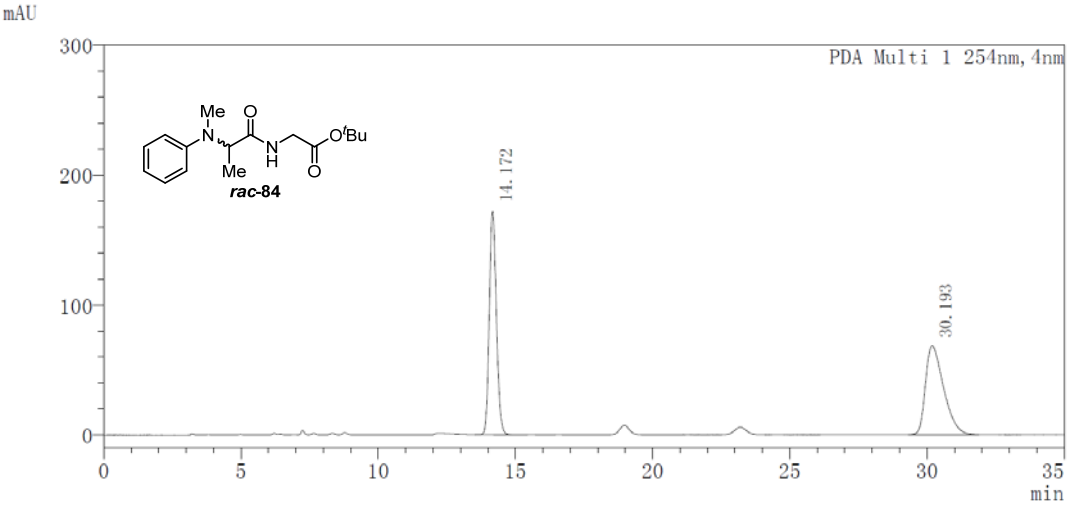
mAU



Peak Table

PDA Ch1 254nm

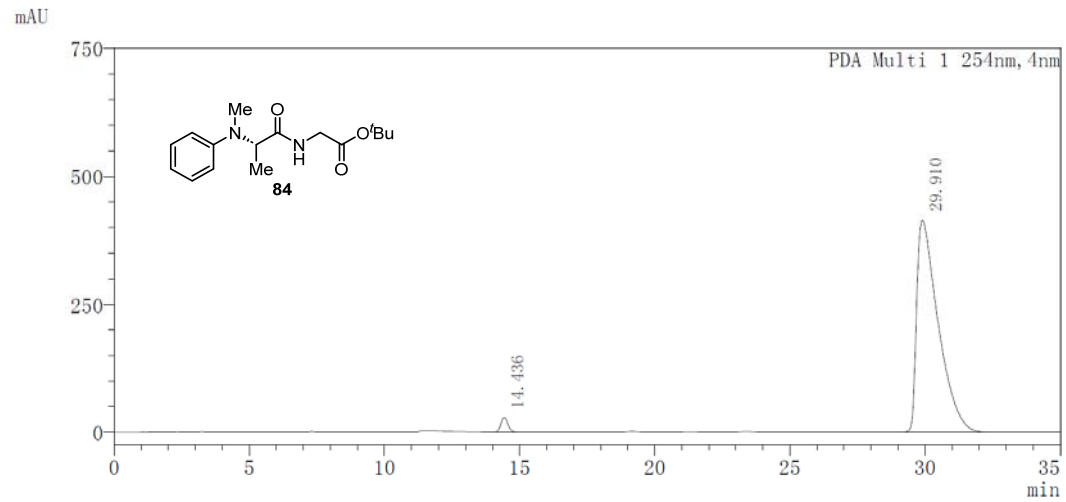
Peak#	Ret. Time	Area	Area%
1	6.372	39611044	97.629
2	8.436	962111	2.371



Peak Table

PDA Ch1 254nm

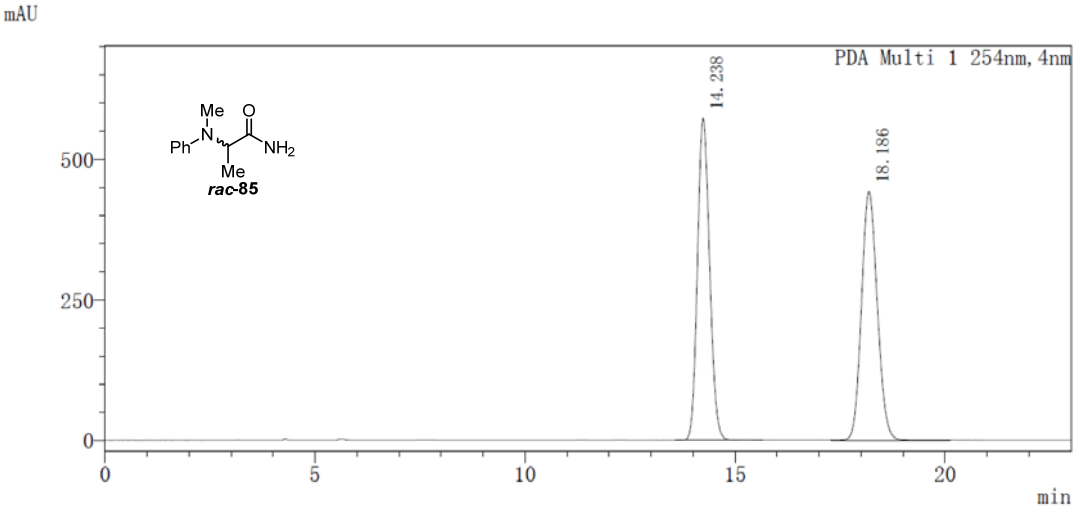
Peak#	Ret. Time	Area	Area%
1	14.172	3079600	49.748
2	30.193	3110797	50.252



Peak Table

PDA Ch1 254nm

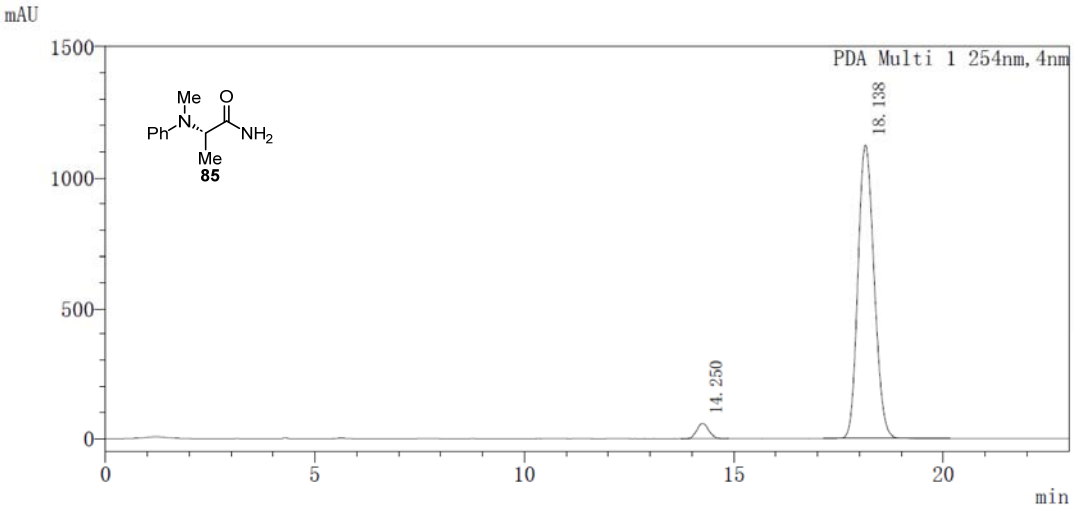
Peak#	Ret. Time	Area	Area%
1	14.436	517517	2.213
2	29.910	22869863	97.787



Peak Table

PDA Ch1 254nm

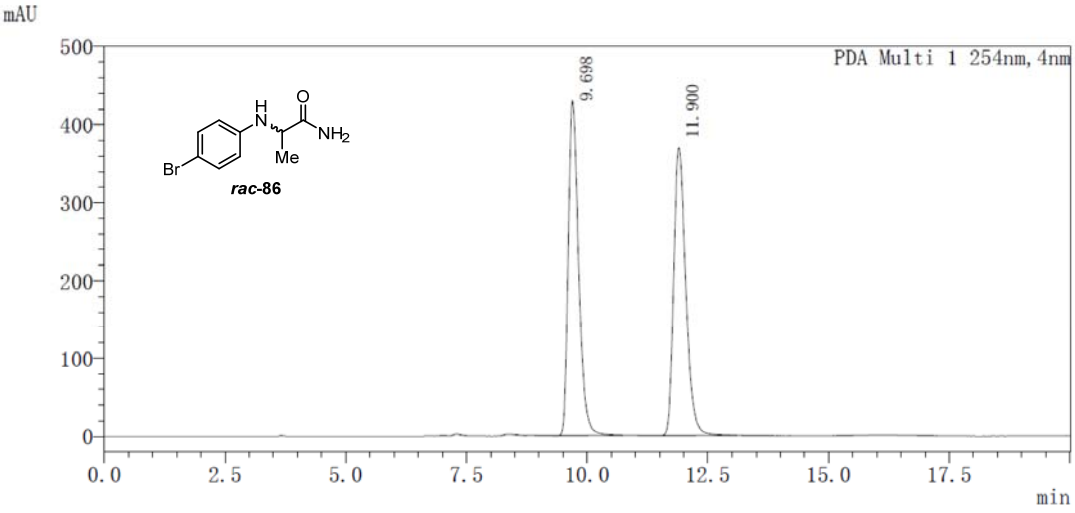
Peak#	Ret. Time	Area	Area%
1	14.238	11472820	49.787
2	18.186	11570805	50.213



Peak Table

PDA Ch1 254nm

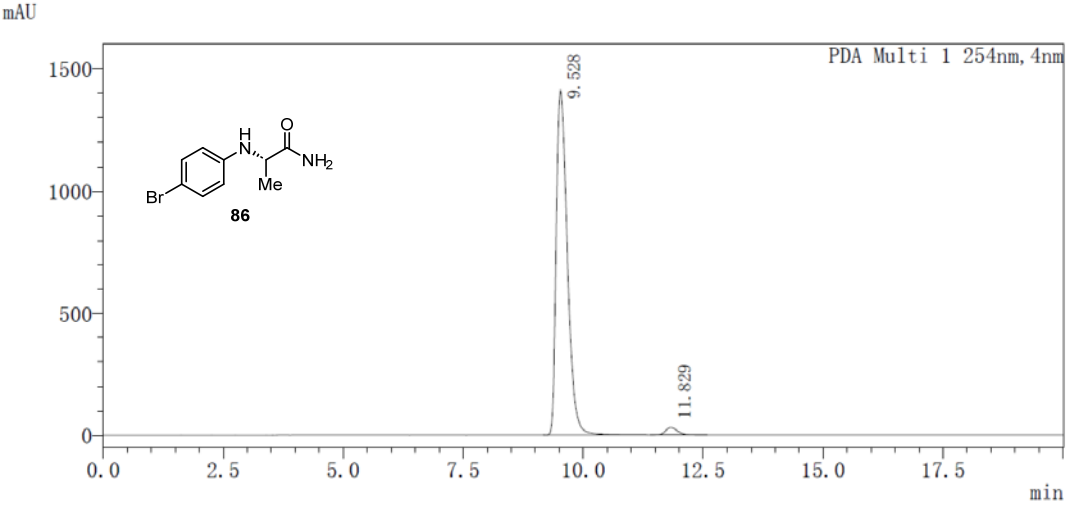
Peak#	Ret. Time	Area	Area%
1	14.250	1143269	3.628
2	18.138	30369360	96.372



Peak Table

PDA Ch1 254nm

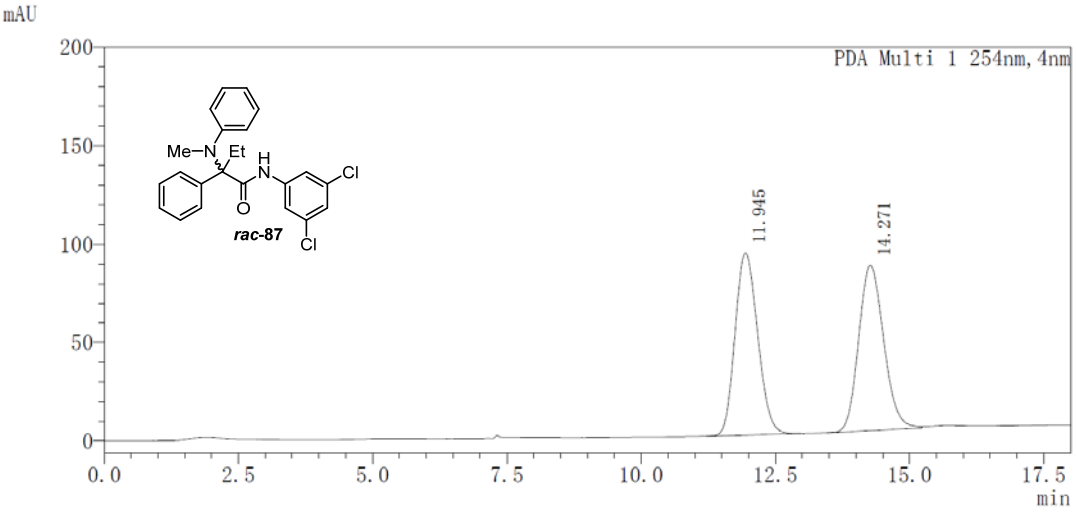
Peak#	Ret. Time	Area	Area%
1	9.698	6436262	49.952
2	11.900	6448740	50.048



Peak Table

PDA Ch1 254nm

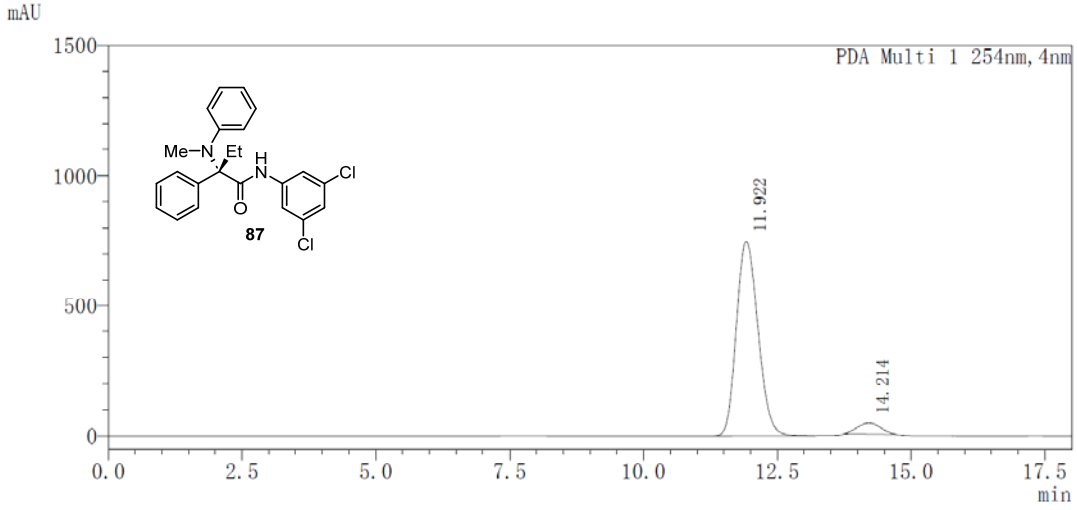
Peak#	Ret. Time	Area	Area%
1	9.528	22850461	97.618
2	11.829	557579	2.382



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.945	2716409	49.852
2	14.271	2732510	50.148

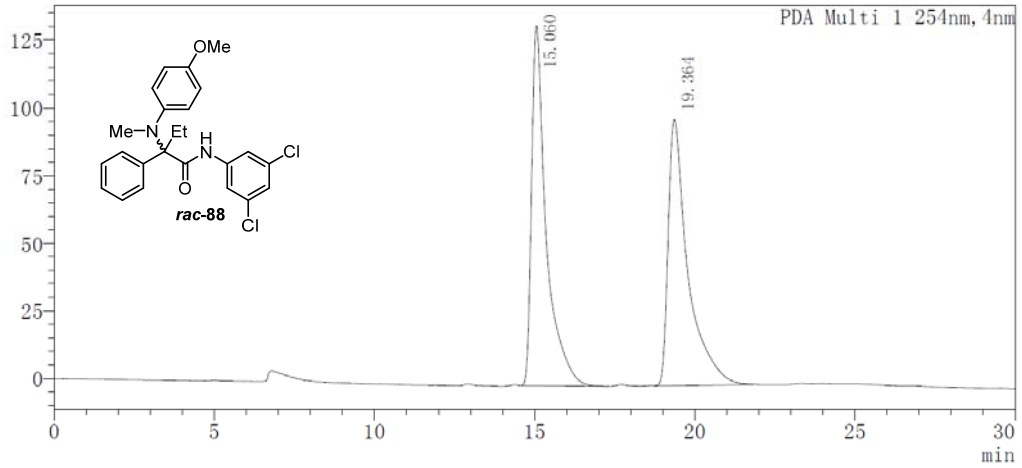


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.922	20986995	94.062
2	14.214	1324852	5.938

mAU

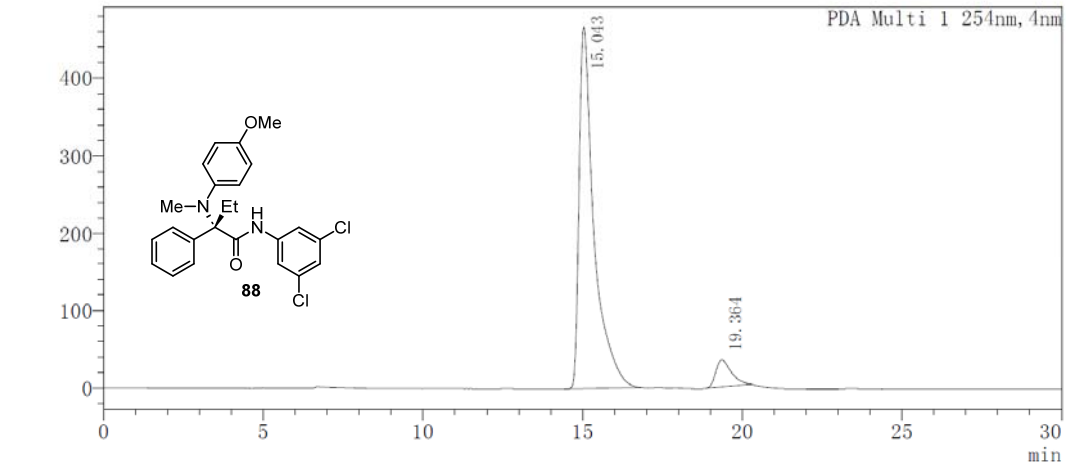


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.060	4215941	50.114
2	19.364	4196823	49.886

mAU

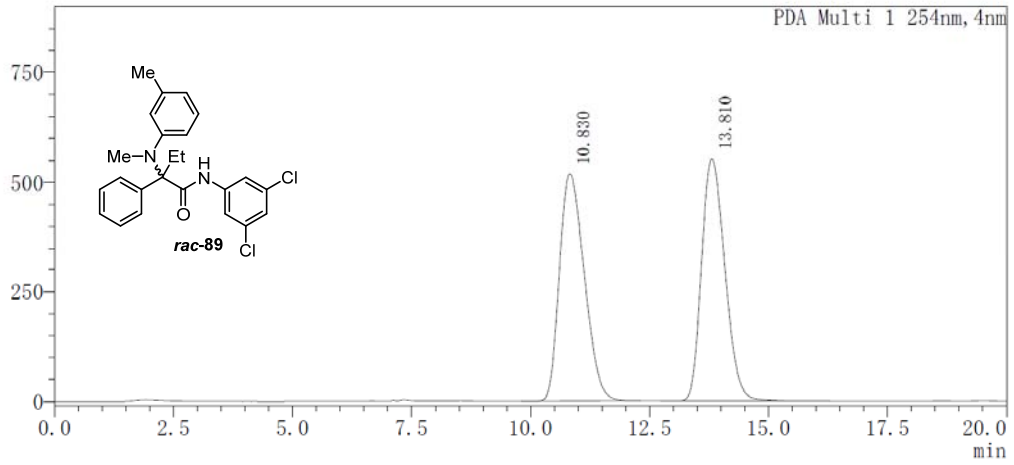


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.043	15164922	92.673
2	19.364	1199055	7.327

mAU

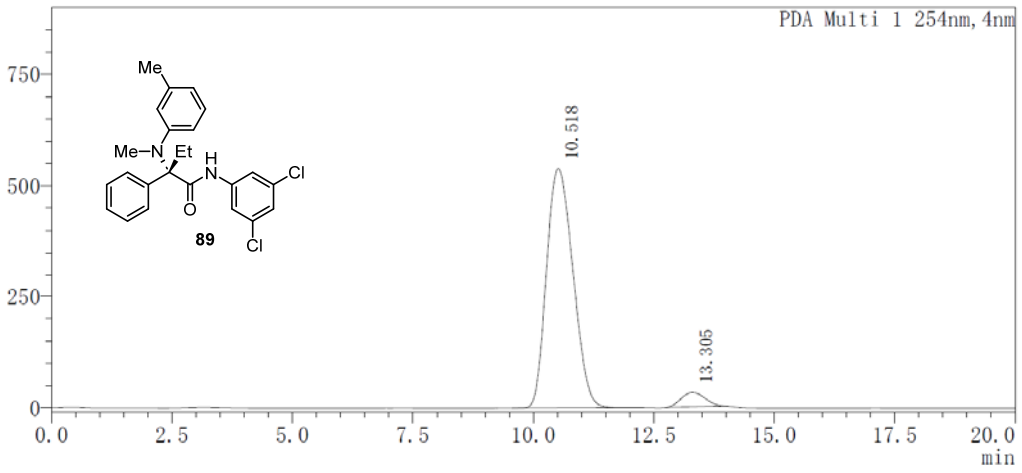


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.830	18893123	50.019
2	13.810	18878415	49.981

mAU

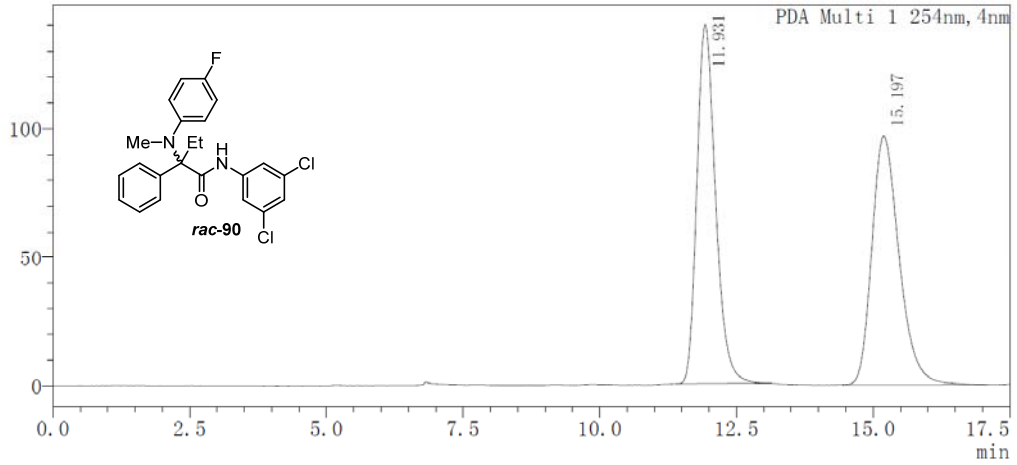


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.518	20620995	94.863
2	13.305	1116661	5.137

mAU

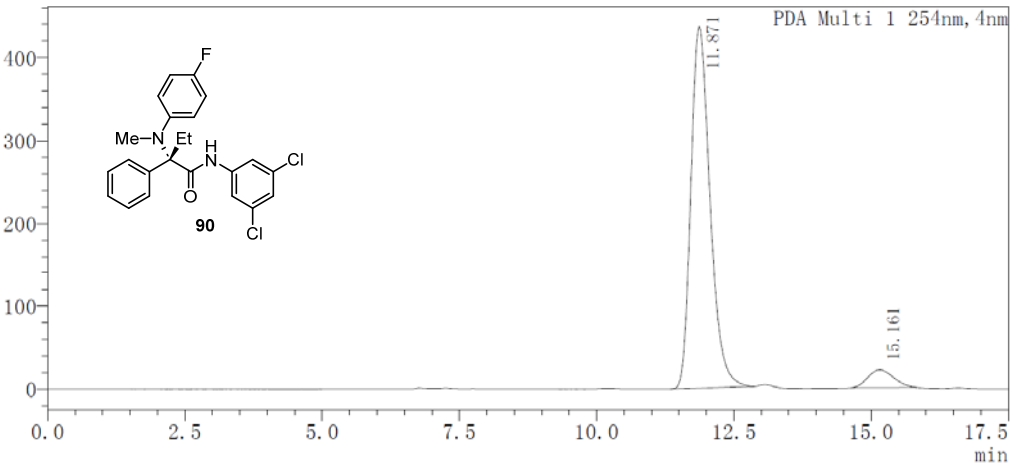


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.931	3327483	49.709
2	15.197	3366507	50.291

mAU

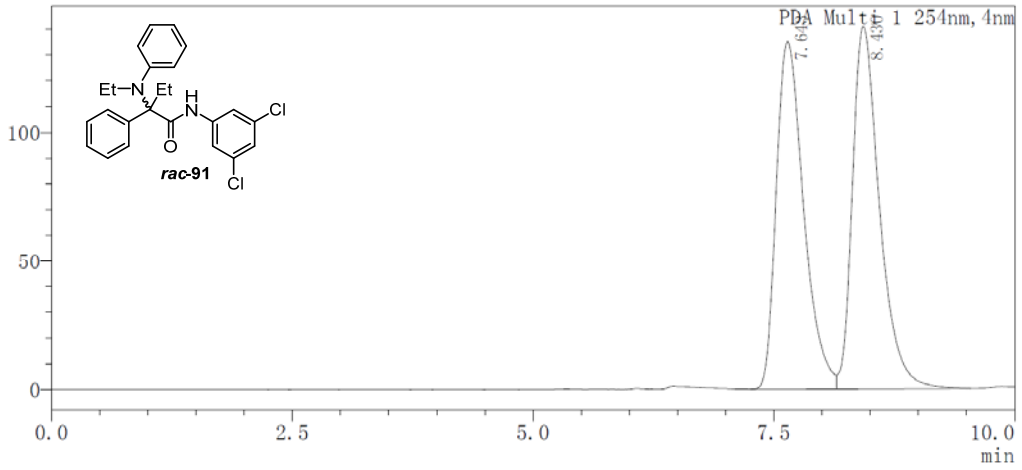


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.871	10590261	93.813
2	15.161	698481	6.187

mAU

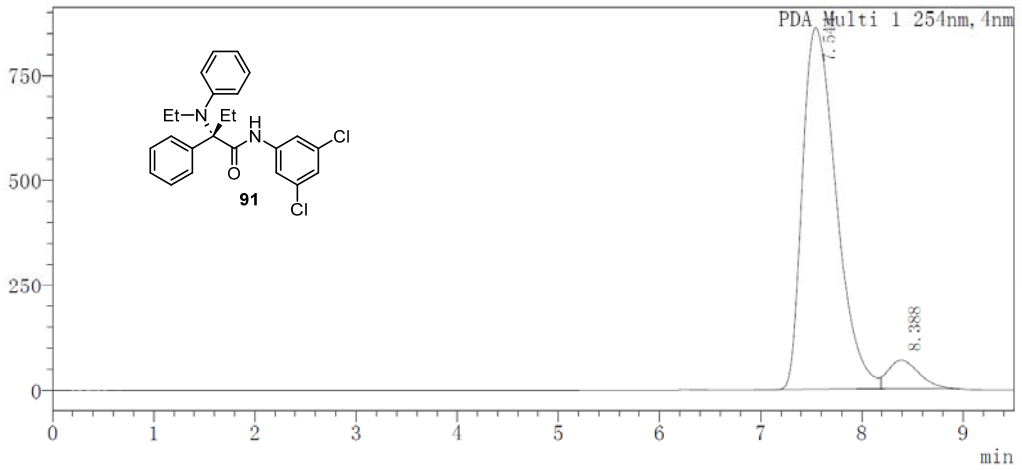


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.643	2677940	49.254
2	8.430	2759038	50.746

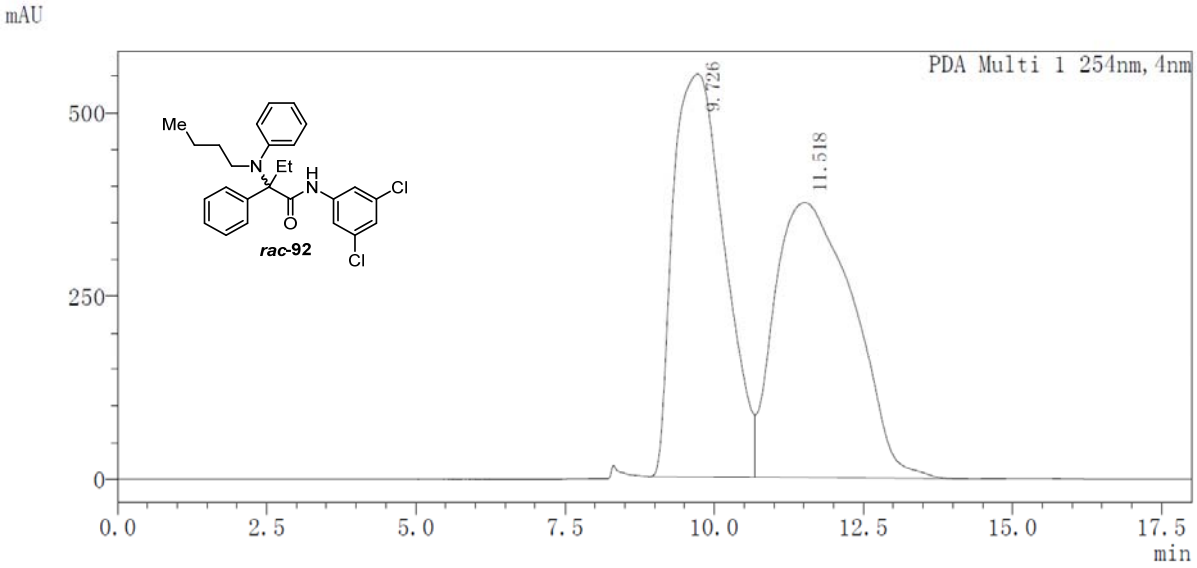
mAU



Peak Table

PDA Ch1 254nm

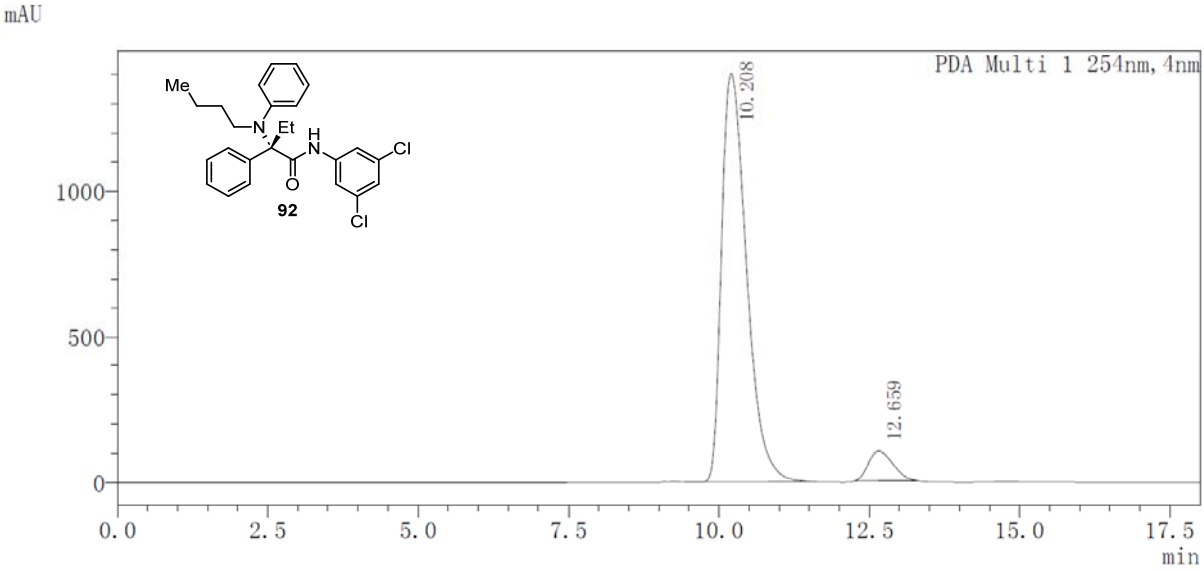
Peak#	Ret. Time	Area	Area%
1	7.544	20032053	93.376
2	8.388	1421043	6.624



Peak Table

PDA Ch1 254nm

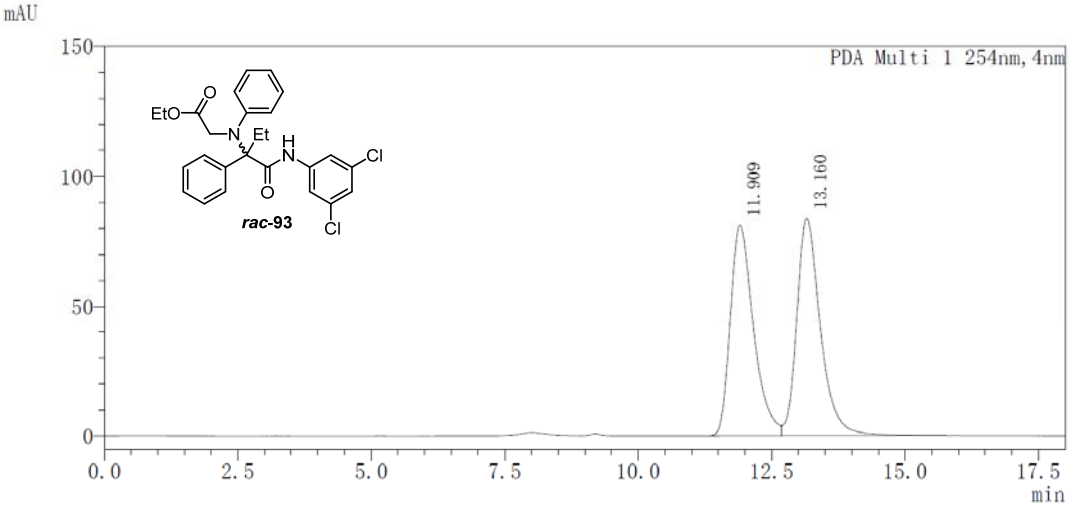
Peak#	Ret. Time	Area	Area%
1	9.726	33060607	48.720
2	11.518	34797171	51.280



Peak Table

PDA Ch1 254nm

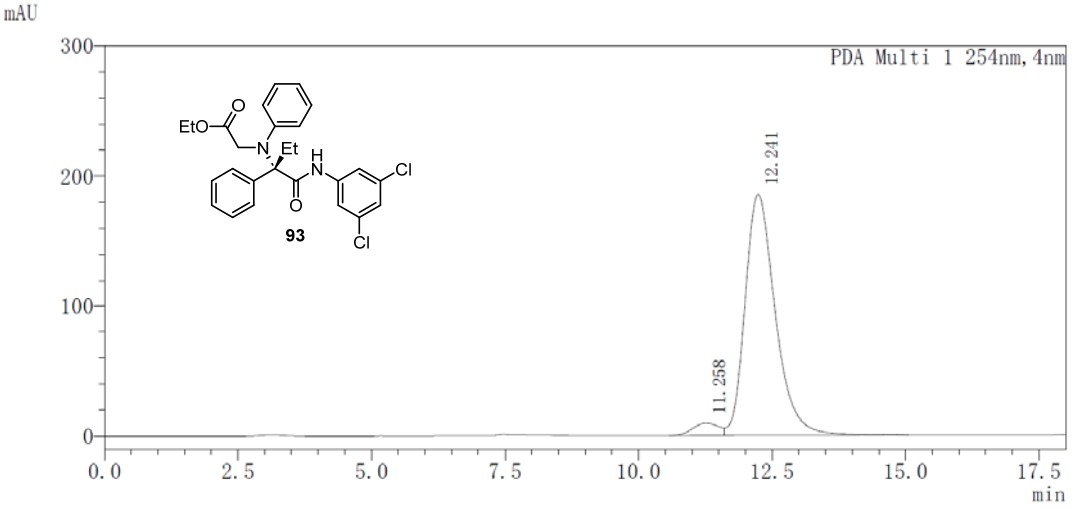
Peak#	Ret. Time	Area	Area%
1	10.208	40418324	93.394
2	12.659	2859021	6.606



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.909	2466852	48.708
2	13.160	2597707	51.292

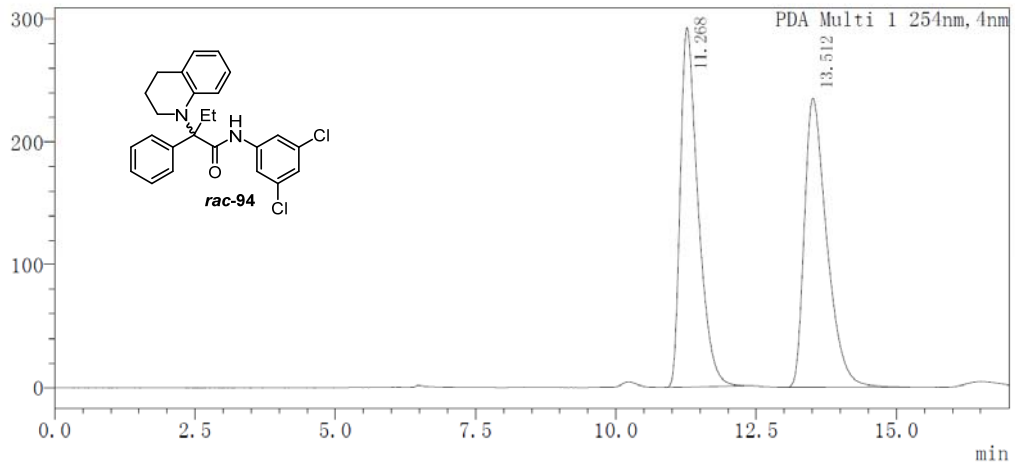


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.258	326220	4.269
2	12.241	7315197	95.731

mAU

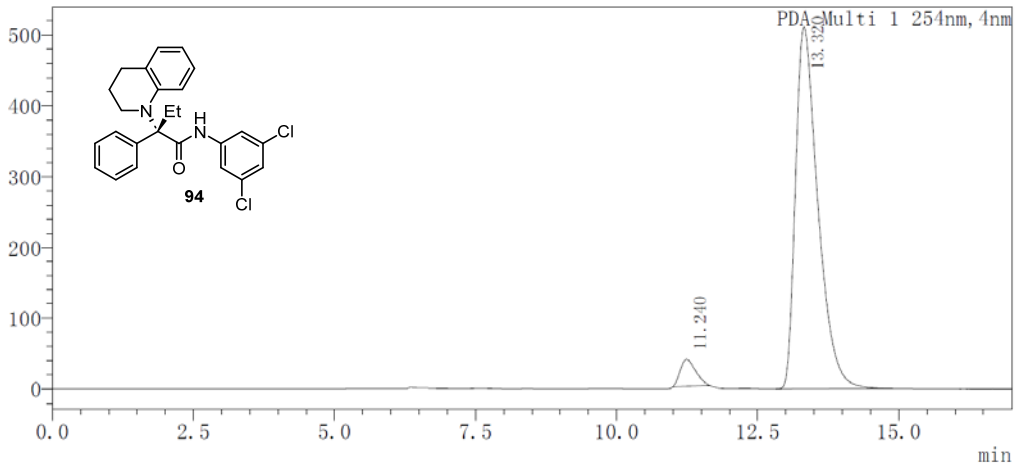


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.268	6448319	49.690
2	13.512	6528686	50.310

mAU

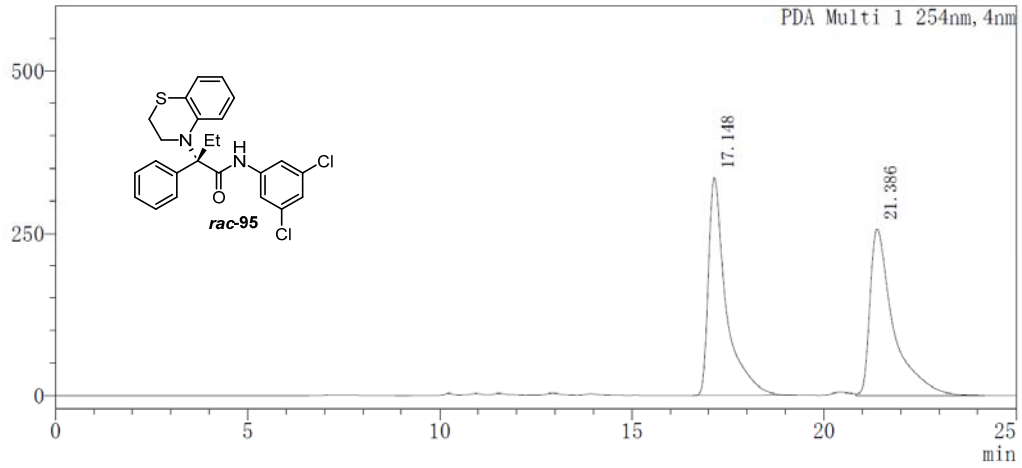


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.240	722125	4.865
2	13.320	14120562	95.135

mAU

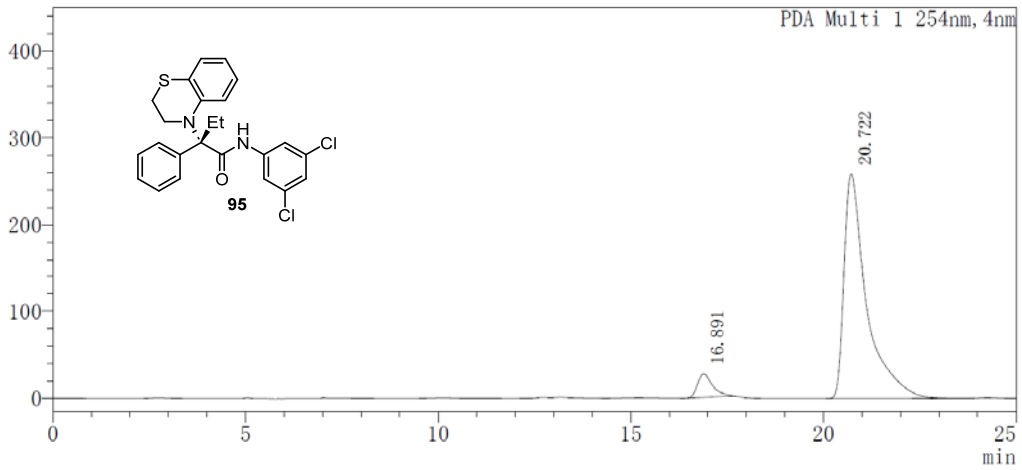


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	17.148	10731727	49.839
2	21.386	10801085	50.161

mAU

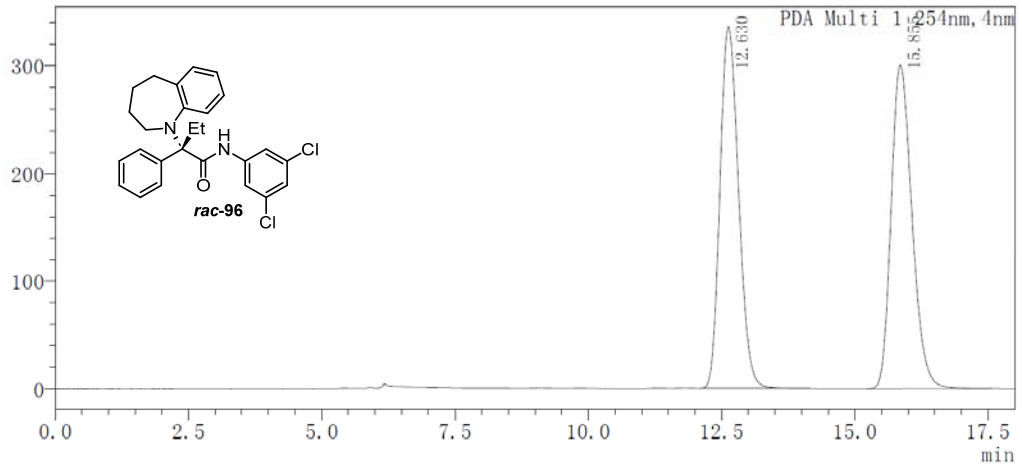


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	16.891	741183	6.576
2	20.722	10530597	93.424

mAU

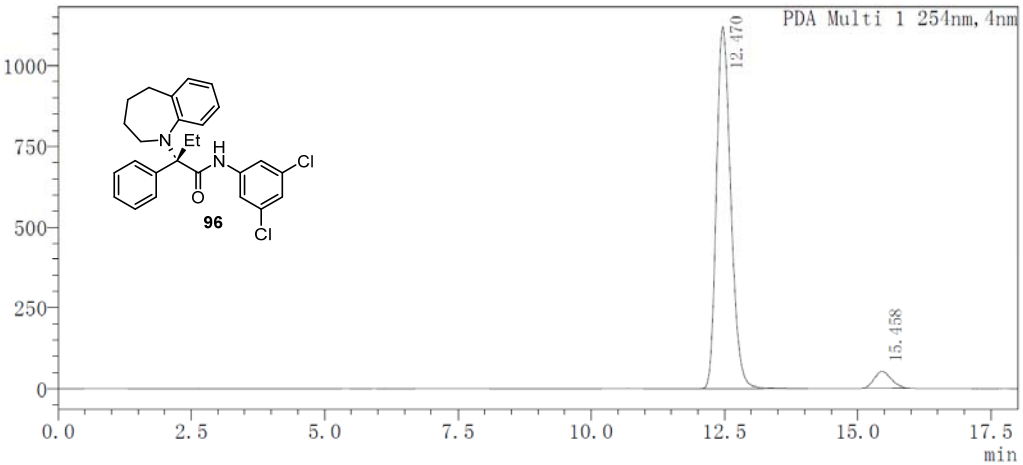


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.630	8168819	49.890
2	15.855	8204832	50.110

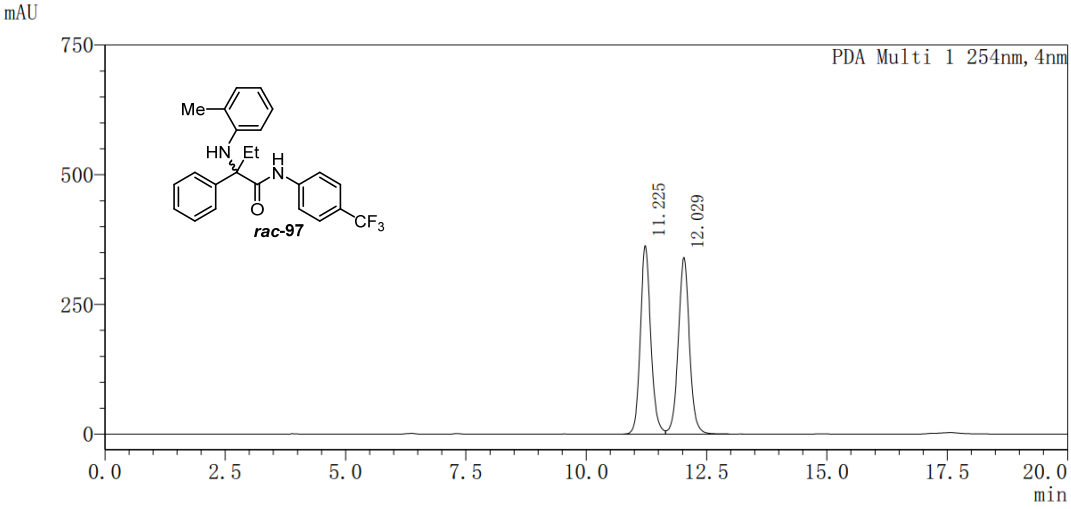
mAU



Peak Table

PDA Ch1 254nm

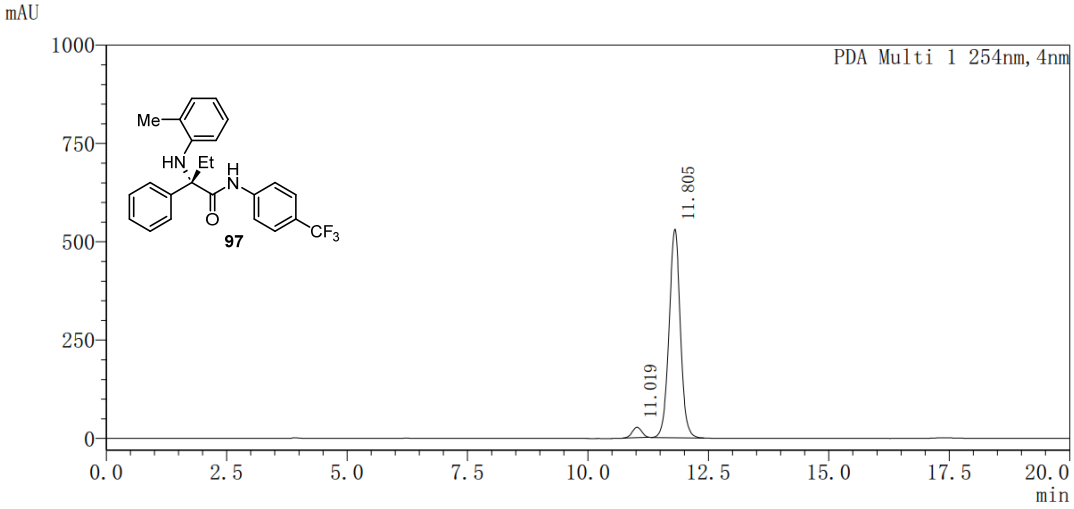
Peak#	Ret. Time	Area	Area%
1	12.470	21037812	94.861
2	15.458	1139729	5.139



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.225	5475700	49.840
2	12.029	5510922	50.160

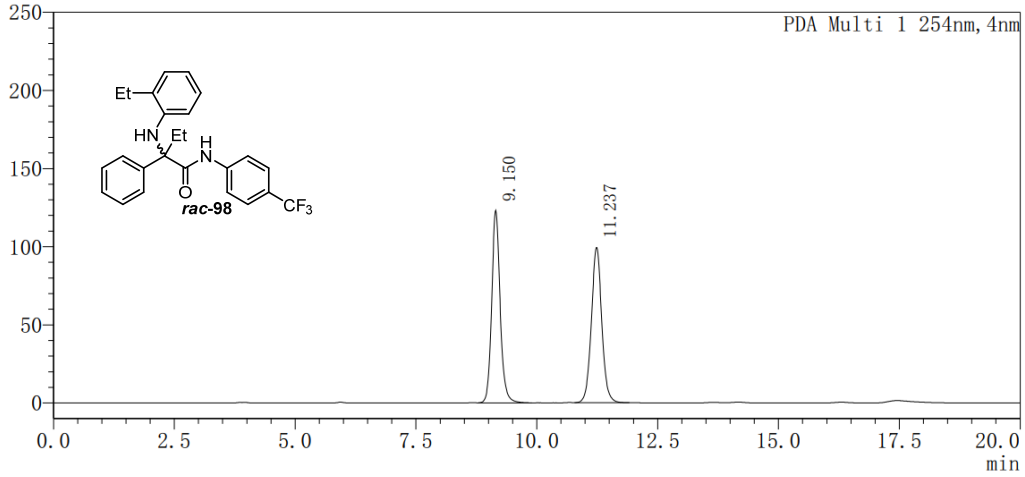


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.019	367119	4.067
2	11.805	8659023	95.933

mAU

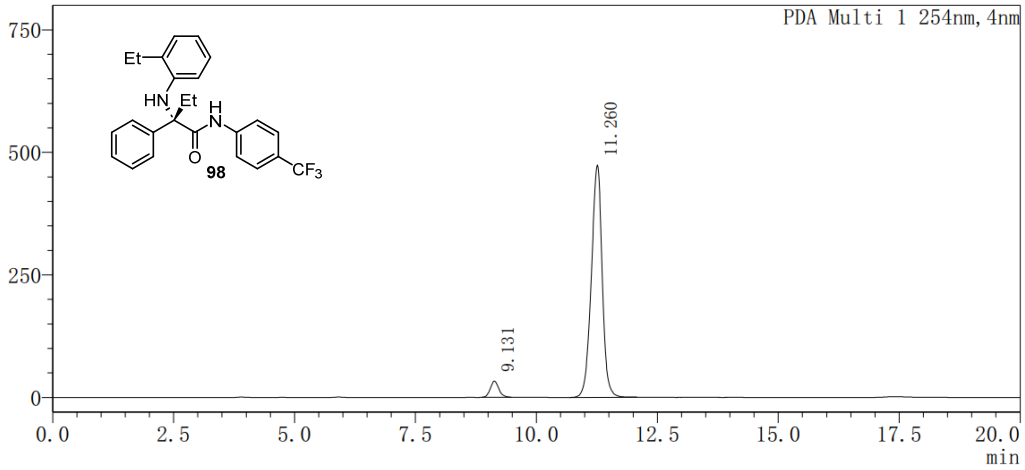


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.150	1499518	50.169
2	11.237	1489389	49.831

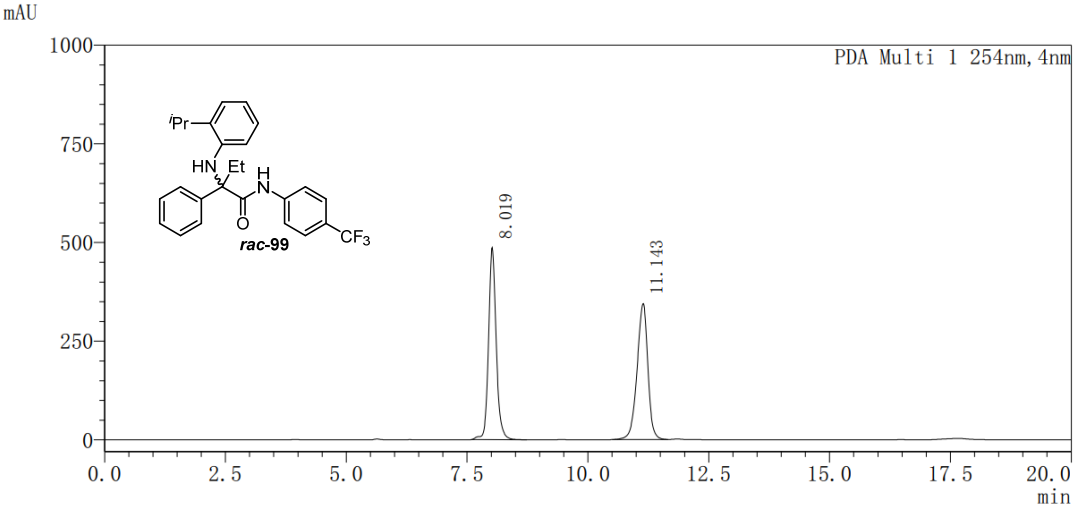
mAU



Peak Table

PDA Ch1 254nm

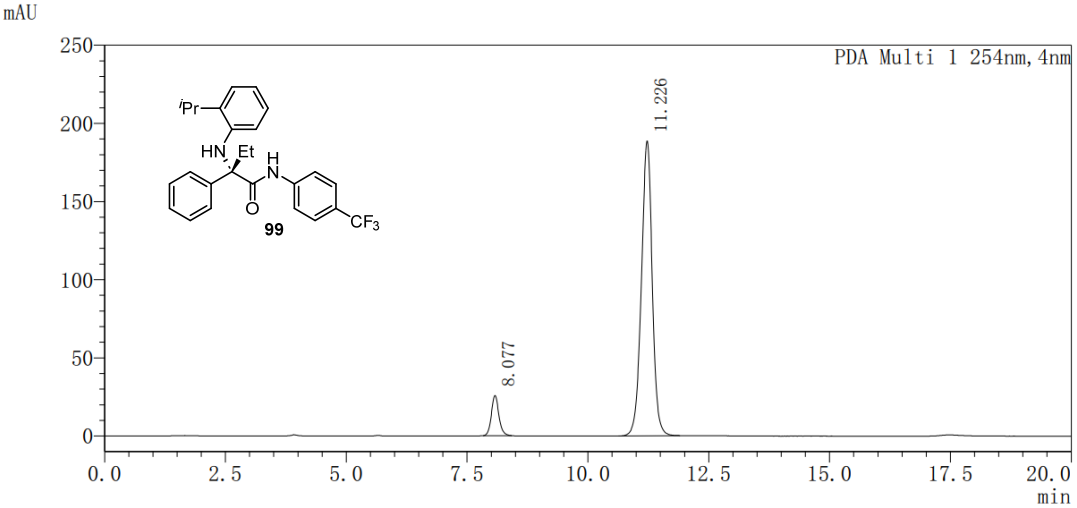
Peak#	Ret. Time	Area	Area%
1	9.131	401765	5.156
2	11.260	7390830	94.844



Peak Table

PDA Ch1 254nm

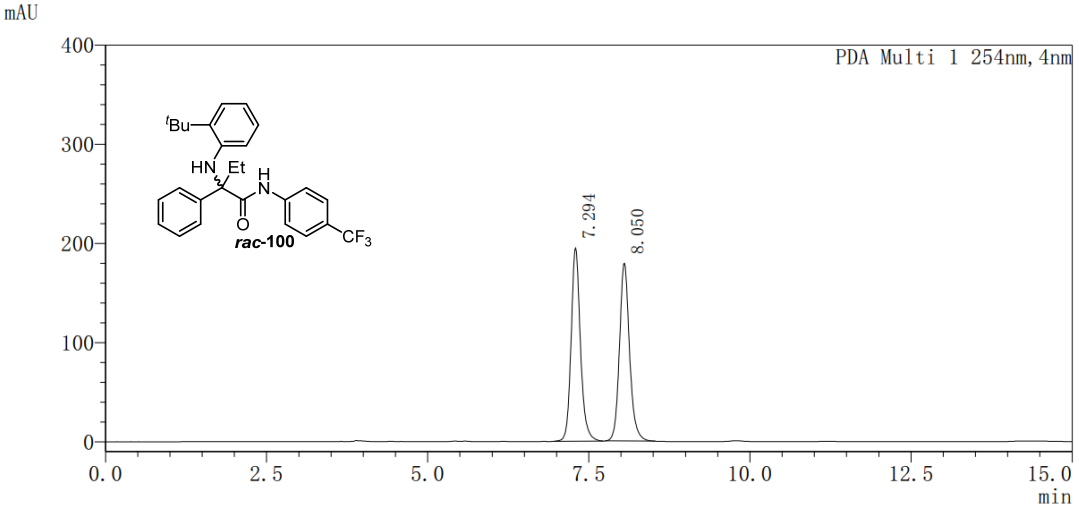
Peak#	Ret. Time	Area	Area%
1	8.019	5400249	50.170
2	11.143	5363634	49.830



Peak Table

PDA Ch1 254nm

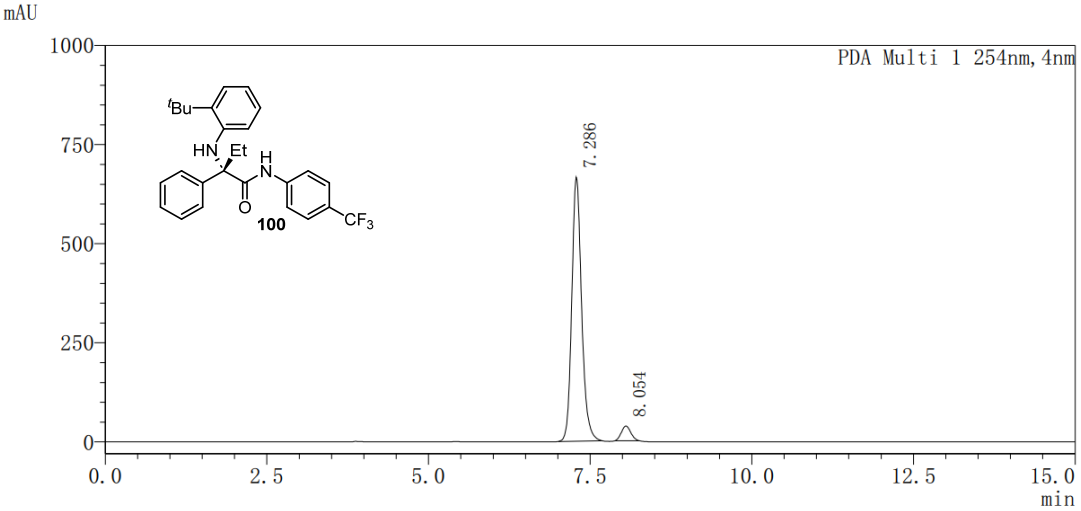
Peak#	Ret. Time	Area	Area%
1	8.077	277870	8.620
2	11.226	2945562	91.380



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.294	1962465	50.142
2	8.050	1951361	49.858

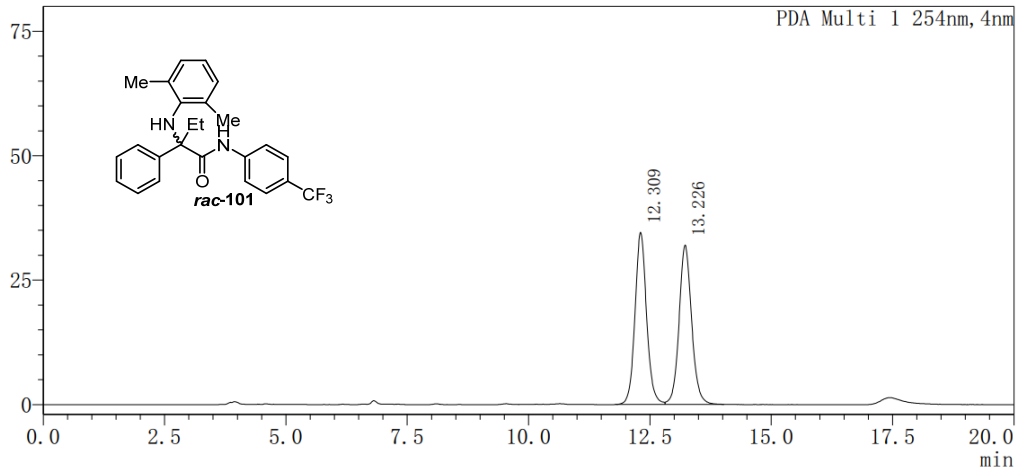


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.286	7041517	94.898
2	8.054	378609	5.102

mAU

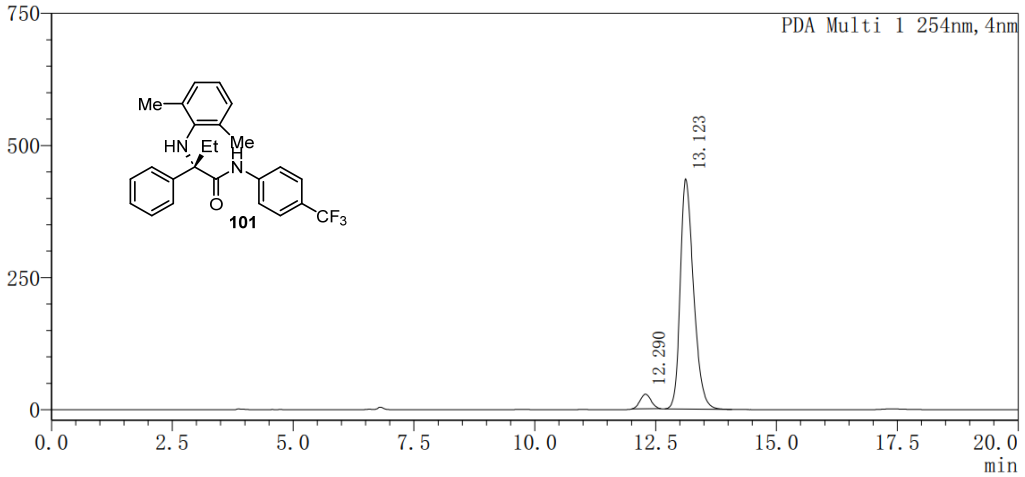


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.309	576929	49.953
2	13.226	578013	50.047

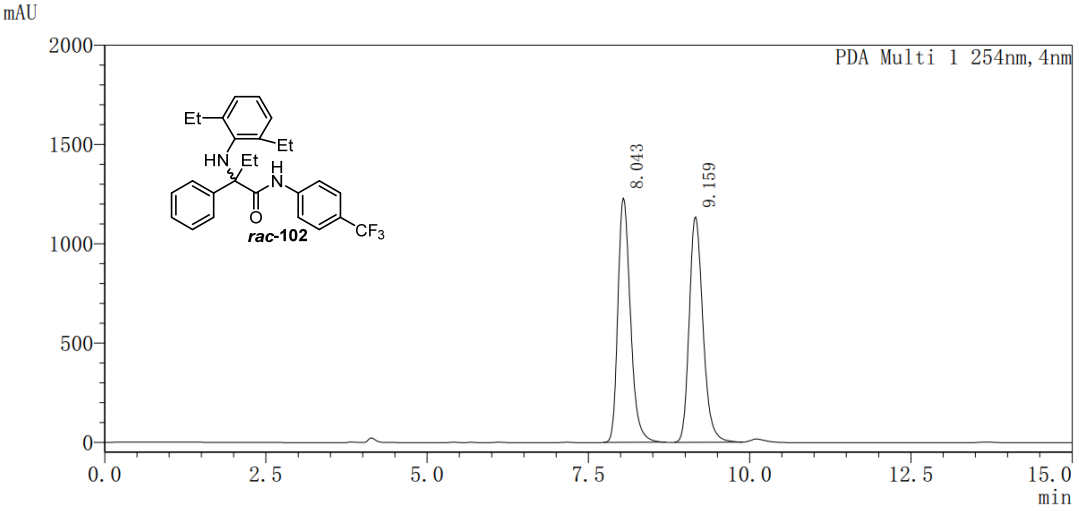
mAU



Peak Table

PDA Ch1 254nm

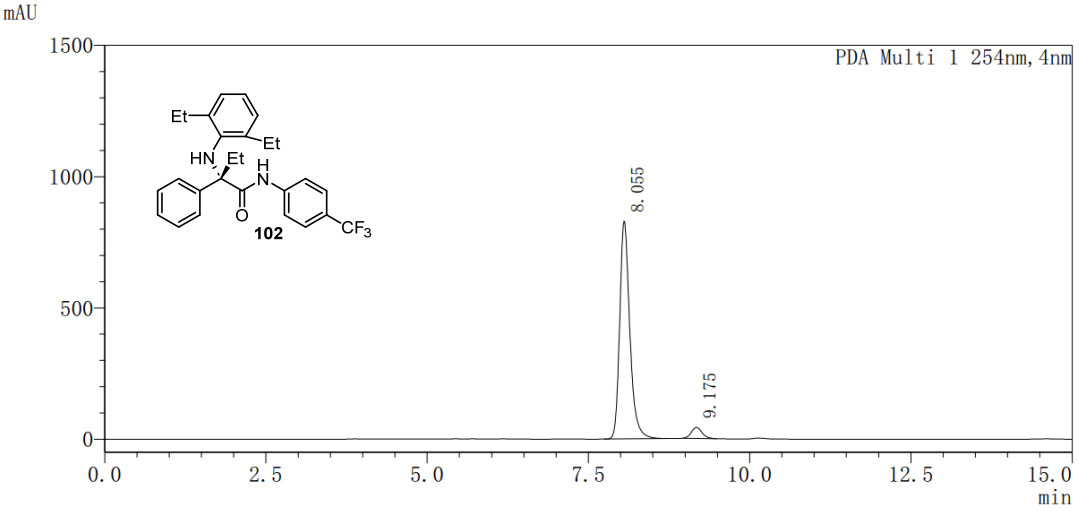
Peak#	Ret. Time	Area	Area%
1	12.290	440896	5.018
2	13.123	8345873	94.982



Peak Table

PDA Ch1 254nm

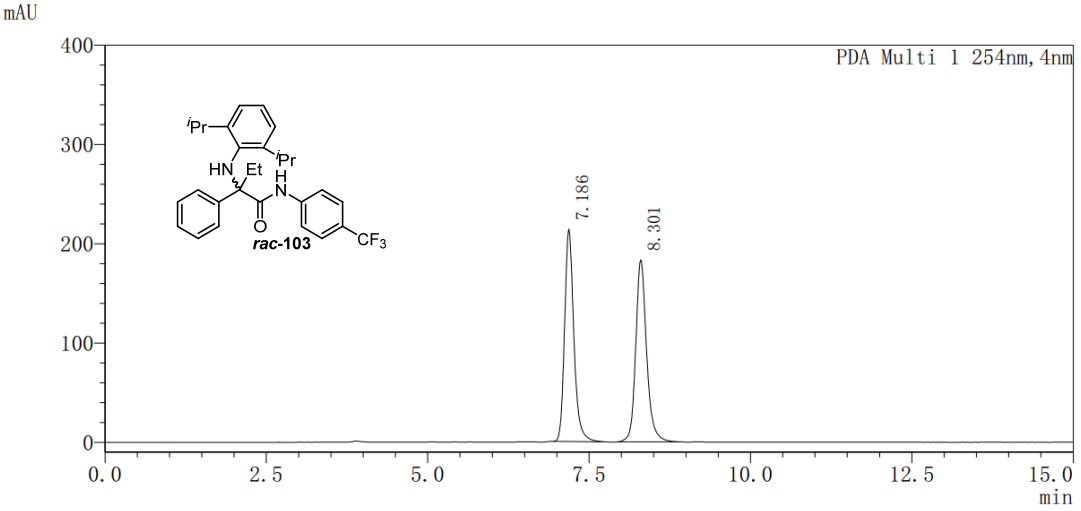
Peak#	Ret. Time	Area	Area%
1	8.043	16670845	49.705
2	9.159	16868845	50.295



Peak Table

PDA Ch1 254nm

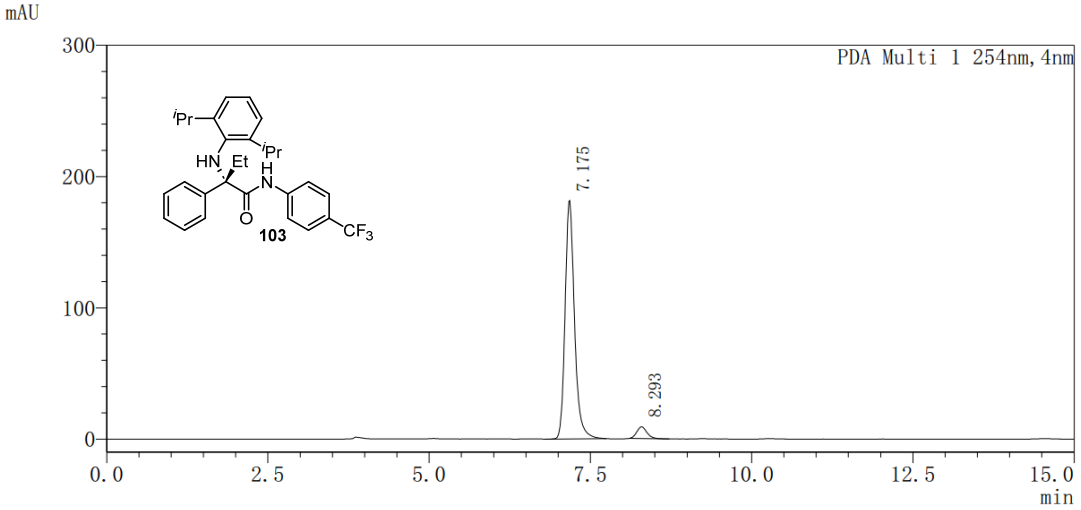
Peak#	Ret. Time	Area	Area%
1	8.055	9058155	94.921
2	9.175	484703	5.079



Peak Table

PDA Ch1 254nm

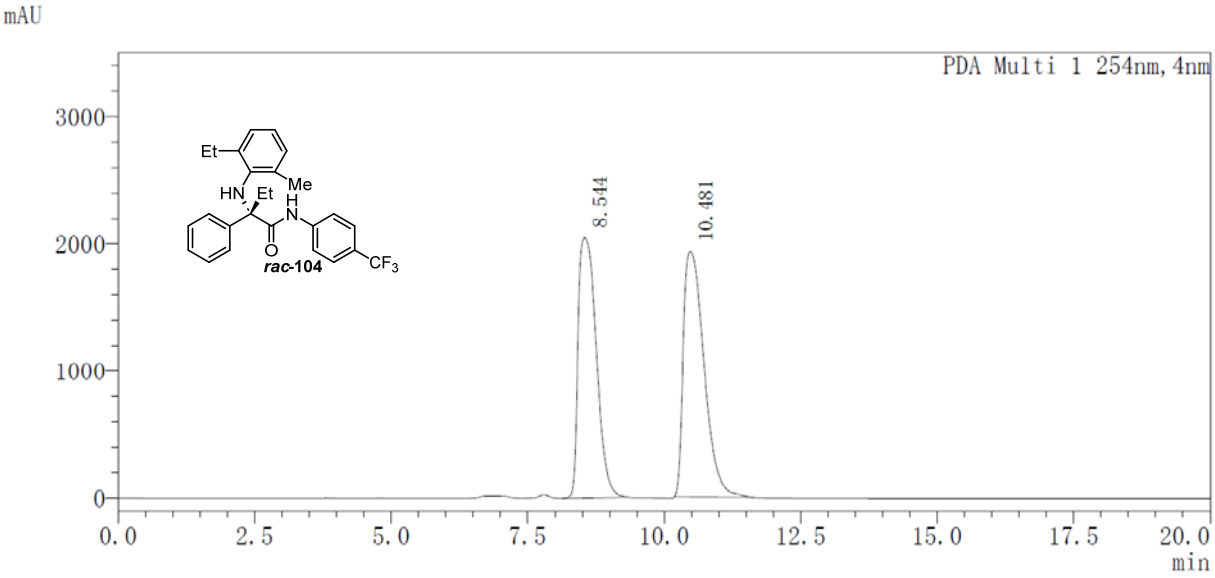
Peak#	Ret. Time	Area	Area%
1	7.186	2134124	49.755
2	8.301	2155147	50.245



Peak Table

PDA Ch1 254nm

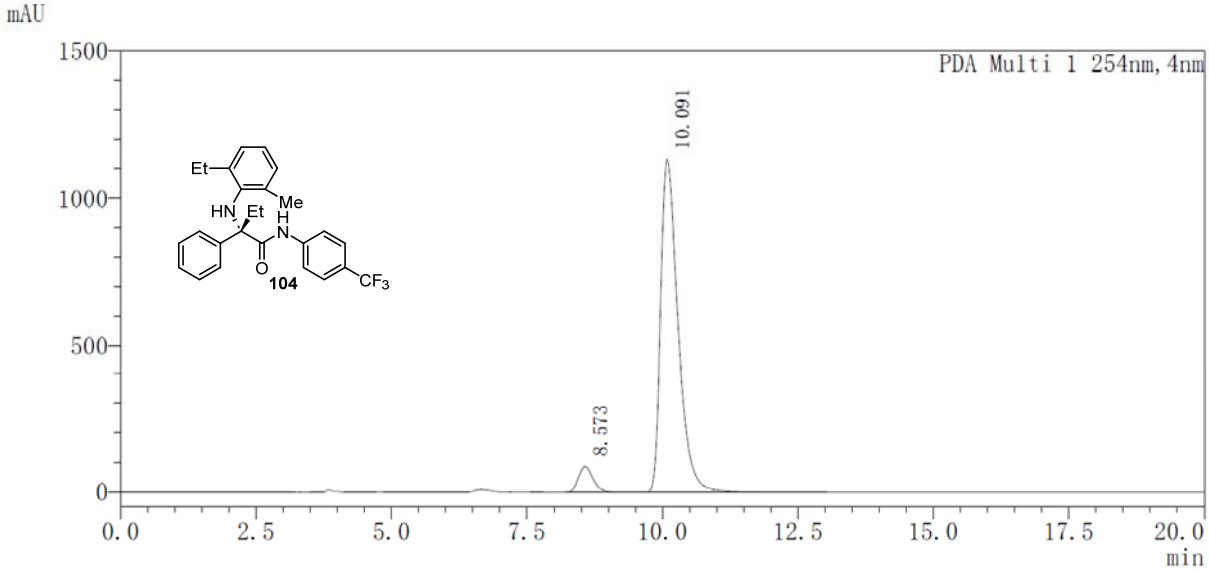
Peak#	Ret. Time	Area	Area%
1	7.175	1842081	94.859
2	8.293	99825	5.141



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.544	47232786	48.061
2	10.481	51044944	51.939

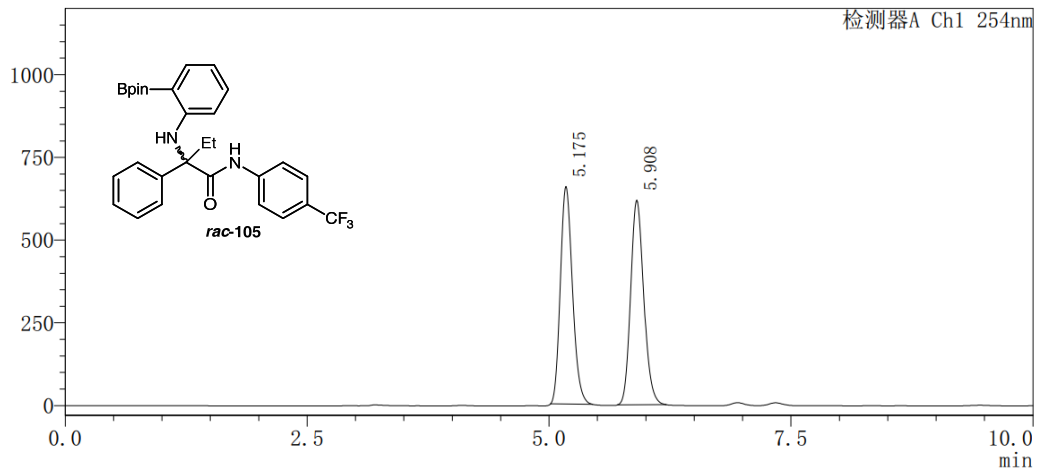


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.573	1566865	5.853
2	10.091	25205150	94.147

mV

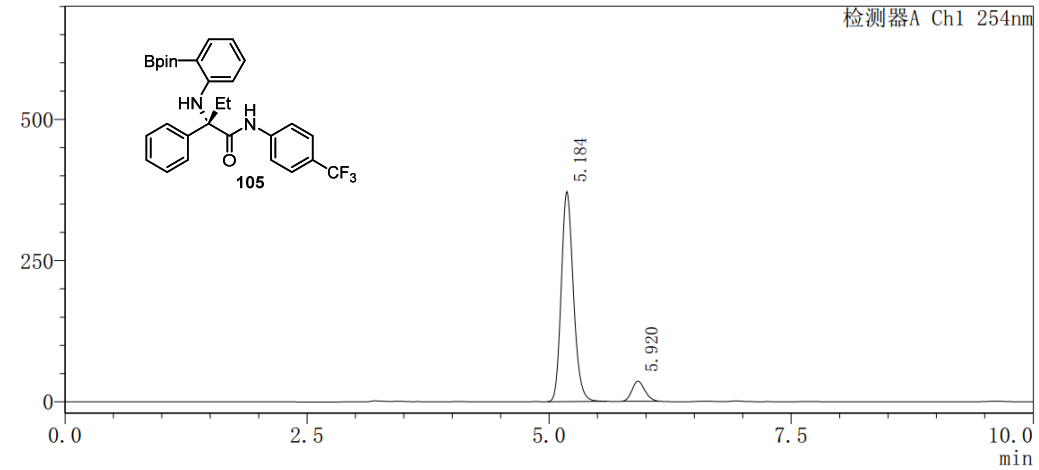


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	5.175	5732241	49.611
2	5.908	5822087	50.389

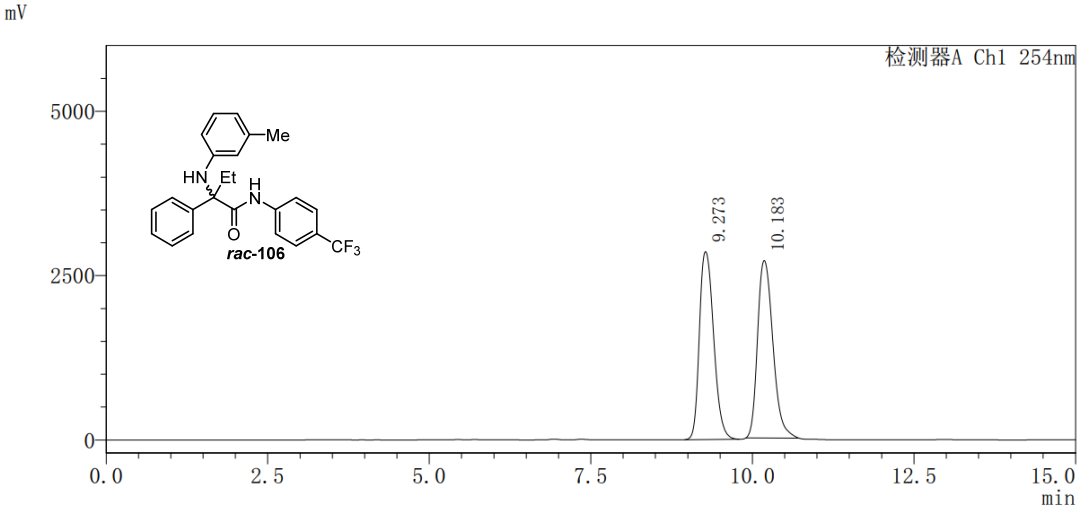
mV



Peak Table

检测器A Ch1 254nm

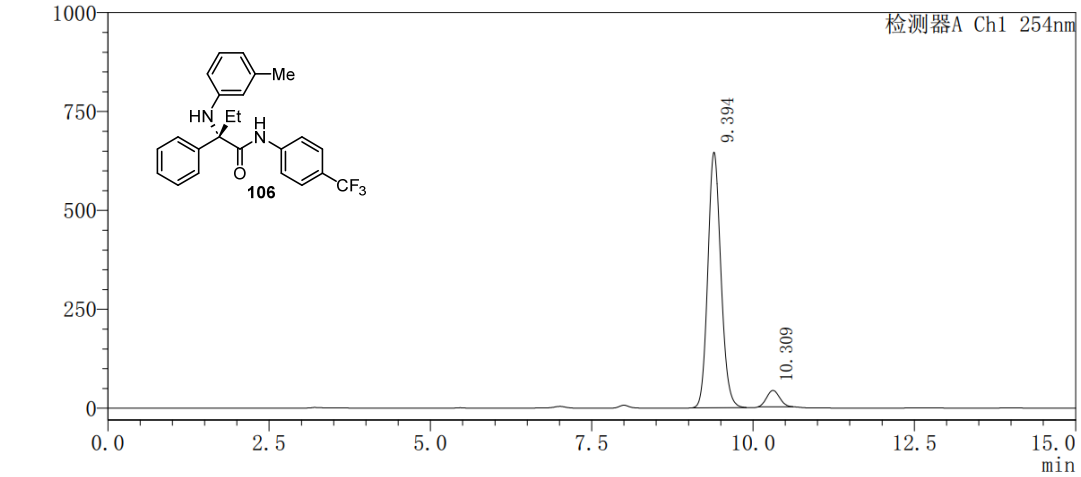
Peak#	Ret. Time	Area	Area%
1	5.184	3239926	90.961
2	5.920	321969	9.039



Peak Table

检测器A Ch1 254nm

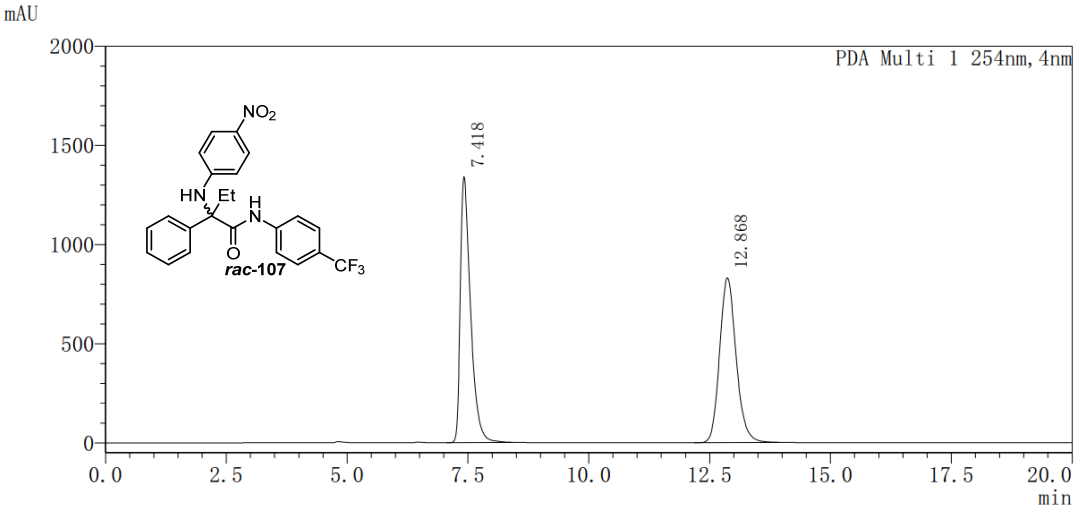
Peak#	Ret. Time	Area	Area%
1	9.273	43931340	49.549
2	10.183	44730306	50.451



Peak Table

检测器A Ch1 254nm

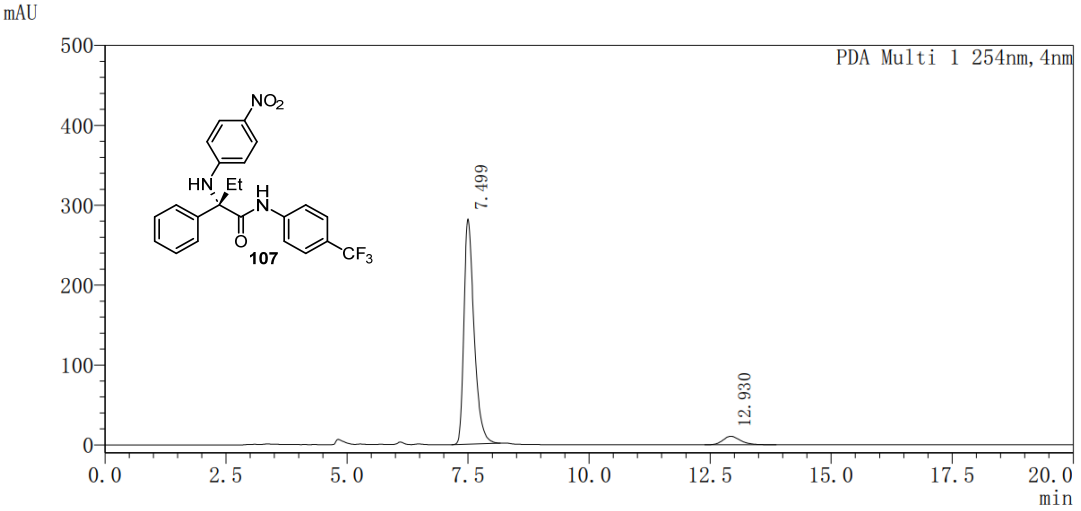
Peak#	Ret. Time	Area	Area%
1	9.394	9116024	94.096
2	10.309	572016	5.904



Peak Table

PDA Ch1 254nm

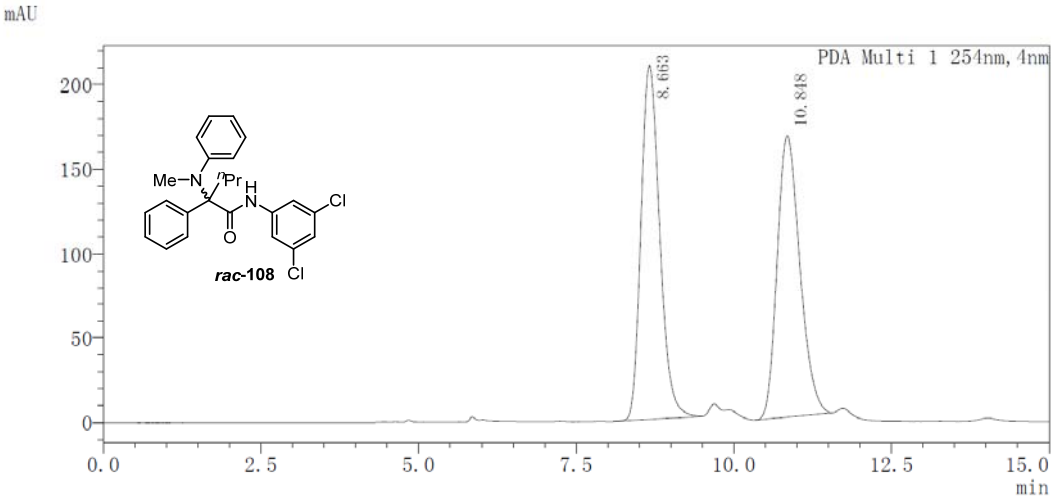
Peak#	Ret. Time	Area	Area%
1	7.418	19763266	49.987
2	12.868	19773474	50.013



Peak Table

PDA Ch1 254nm

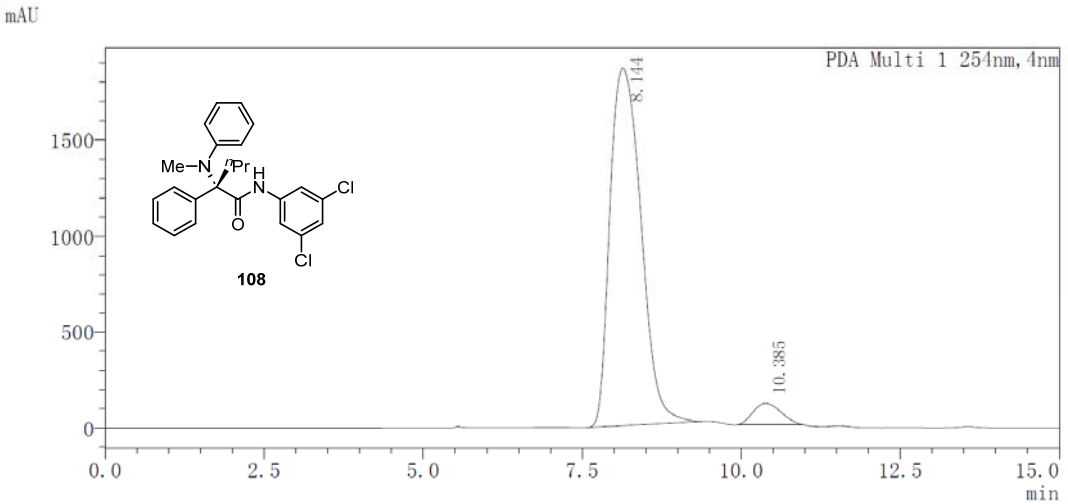
Peak#	Ret. Time	Area	Area%
1	7.499	4124804	93.916
2	12.930	267200	6.084



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.663	4328891	50.884
2	10.848	4178460	49.116

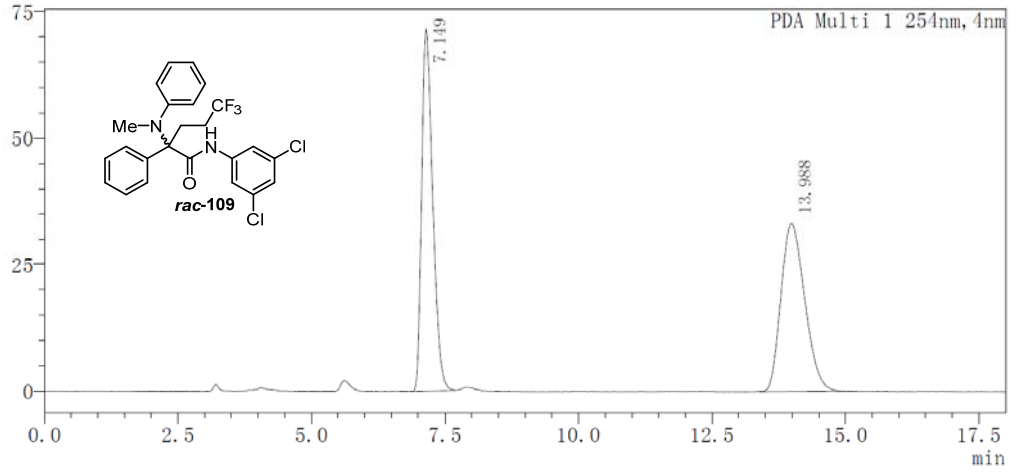


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.144	63120743	94.938
2	10.385	3365207	5.062

mAU

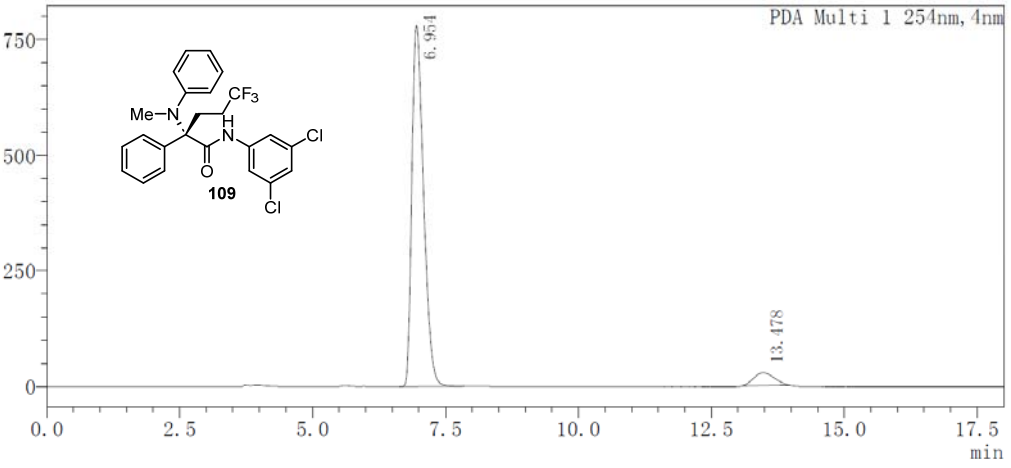


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.149	1031348	50.025
2	13.988	1030334	49.975

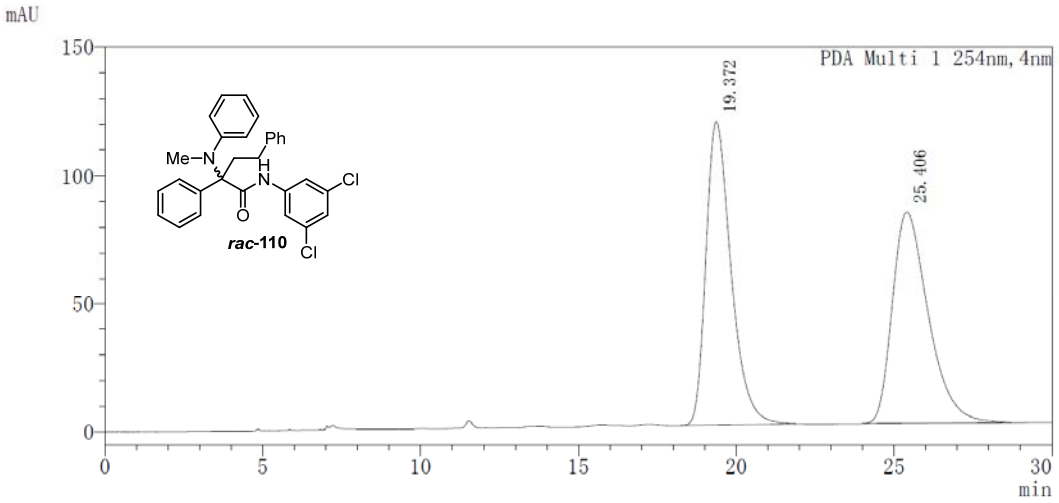
mAU



Peak Table

PDA Ch1 254nm

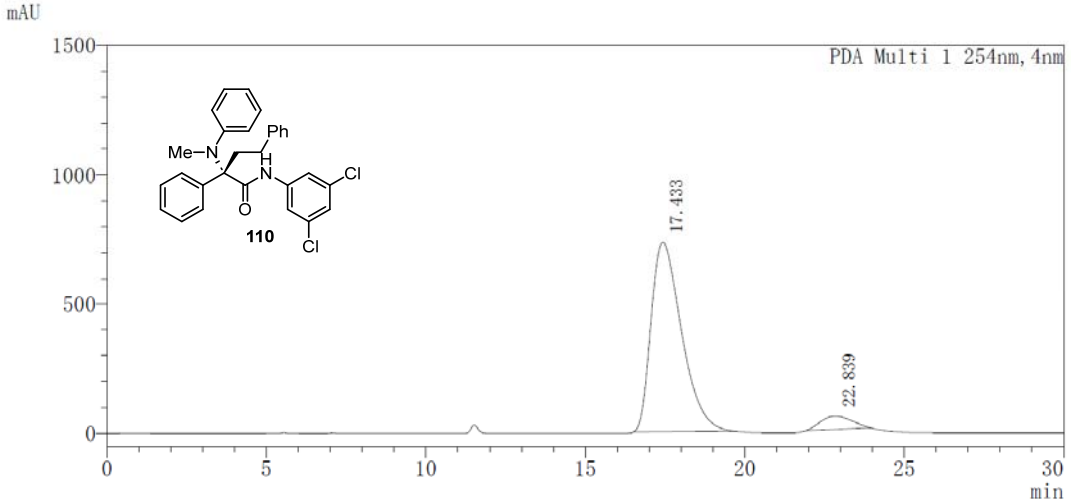
Peak#	Ret. Time	Area	Area%
1	6.954	11968169	94.000
2	13.478	763866	6.000



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.372	6557095	50.084
2	25.406	6535218	49.916

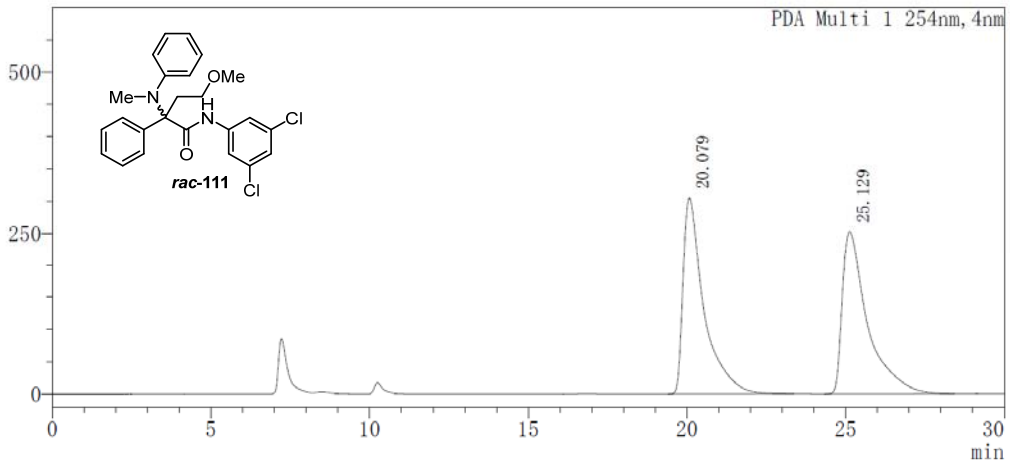


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	17.433	48797241	93.265
2	22.839	3523909	6.735

mAU

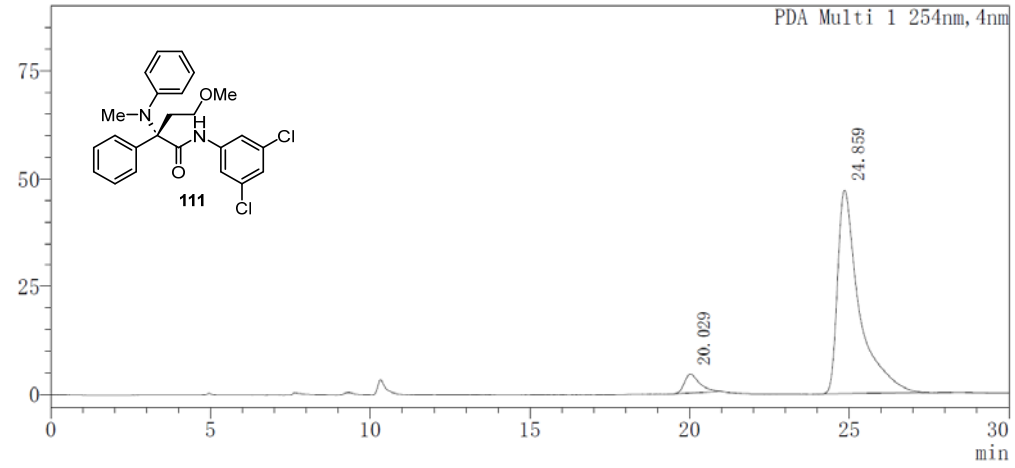


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	20.079	13907920	49.996
2	25.129	13909964	50.004

mAU

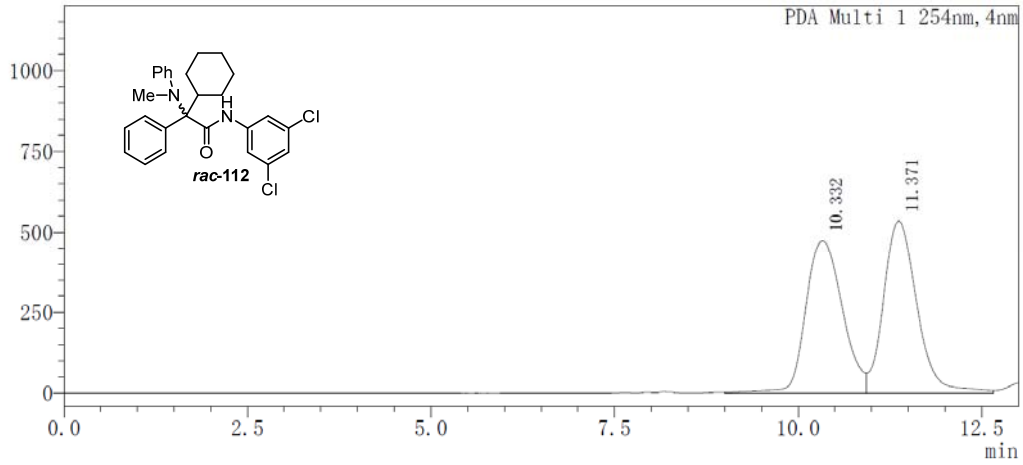


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	20.029	145534	6.117
2	24.859	2233627	93.883

mAU

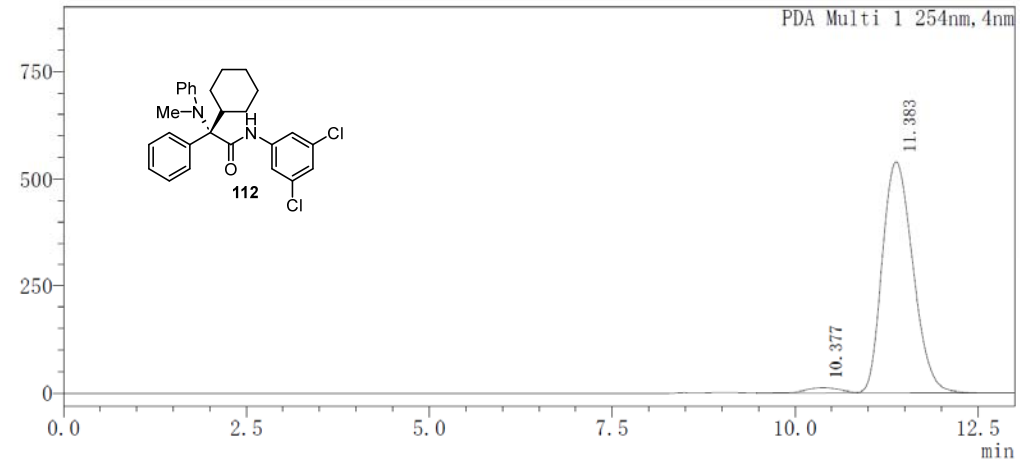


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.332	16585452	49.392
2	11.371	16993668	50.608

mAU

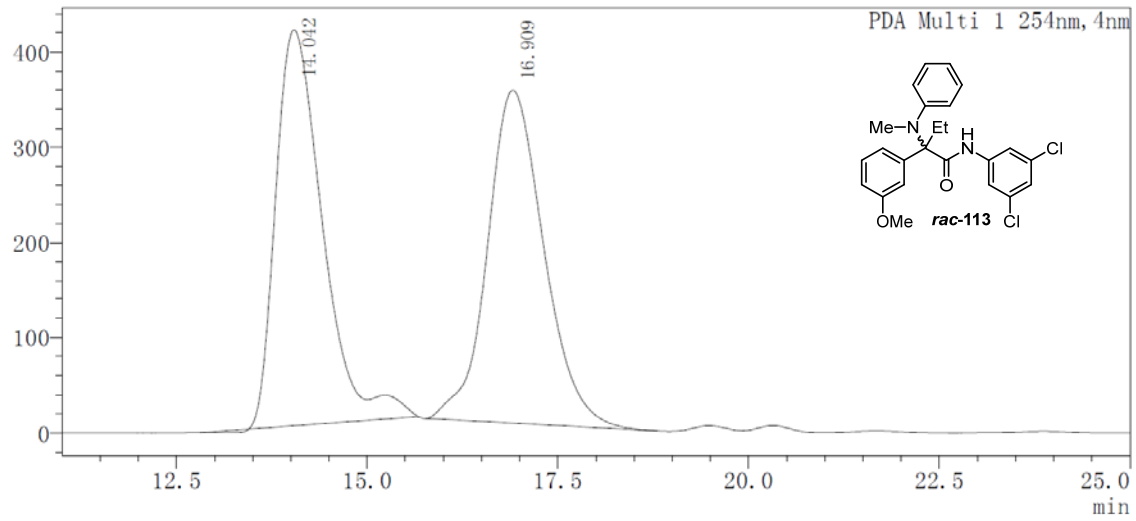


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.377	426656	2.641
2	11.383	15727289	97.359

mAU

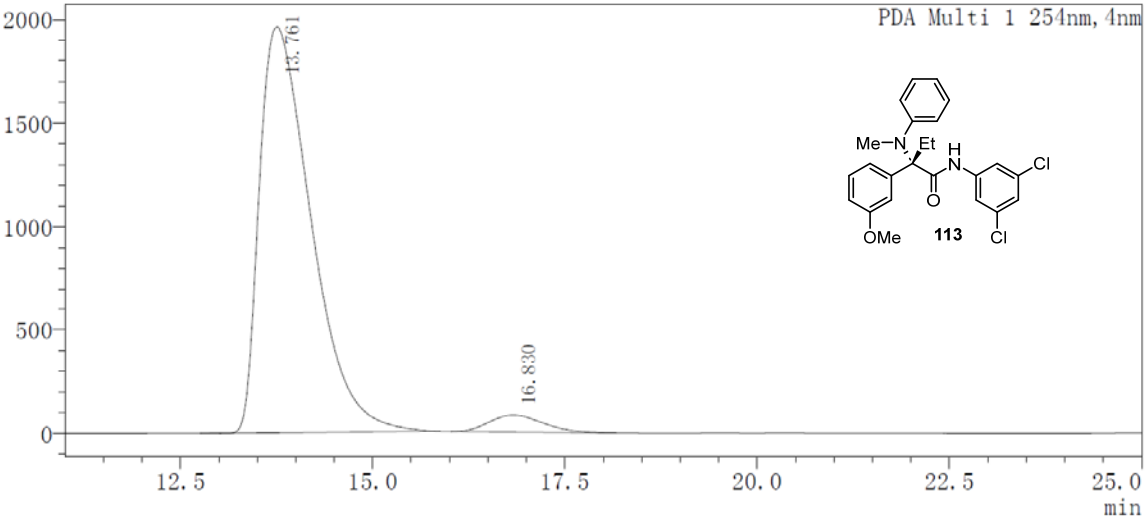


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	14.042	17712220	49.568
2	16.909	18021191	50.432

mAU

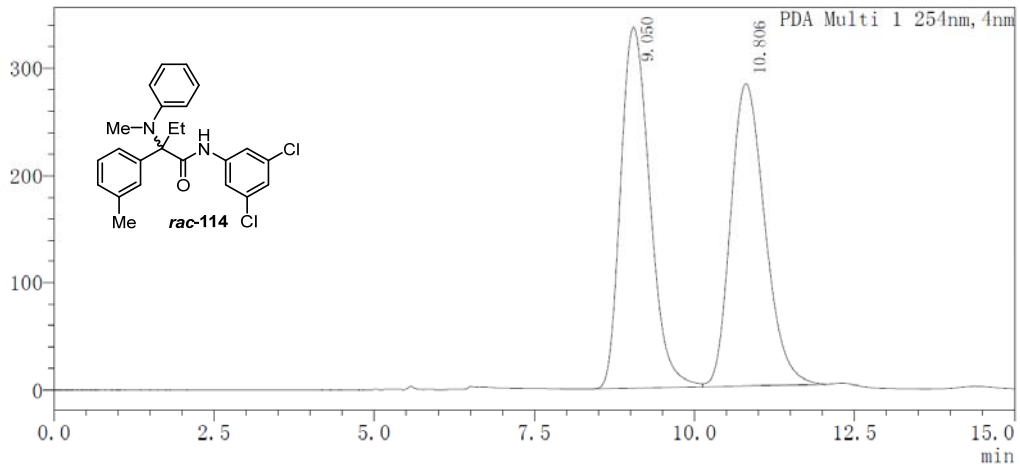


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.761	91901026	95.779
2	16.830	4049885	4.221

mAU

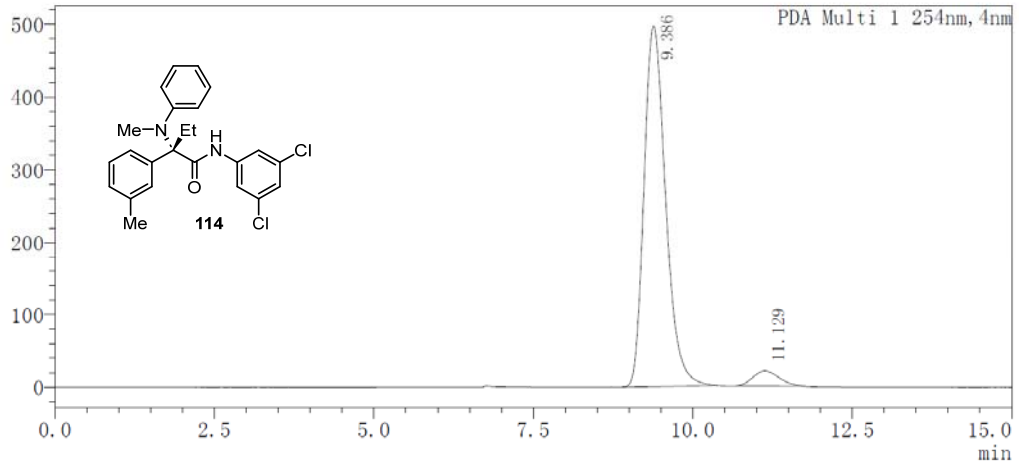


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.050	10676800	50.424
2	10.806	10497369	49.576

mAU

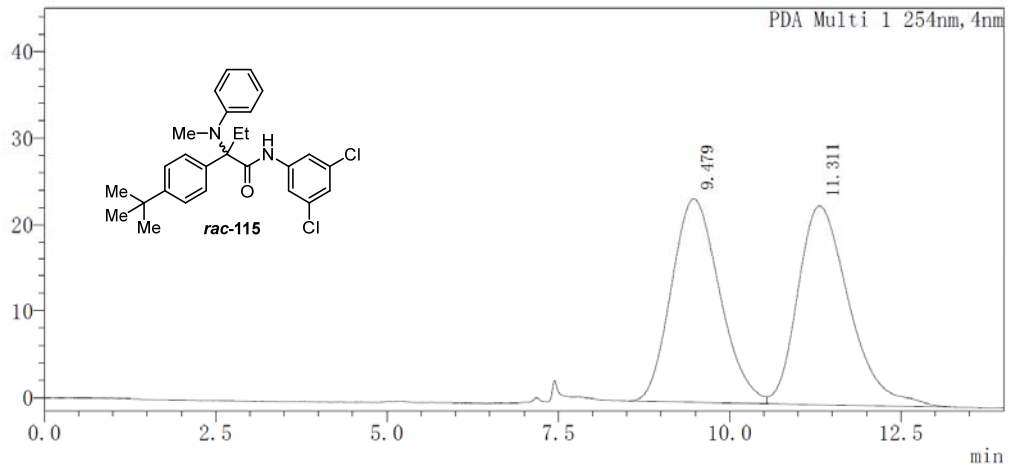


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.386	11705583	95.184
2	11.129	592240	4.816

mAU

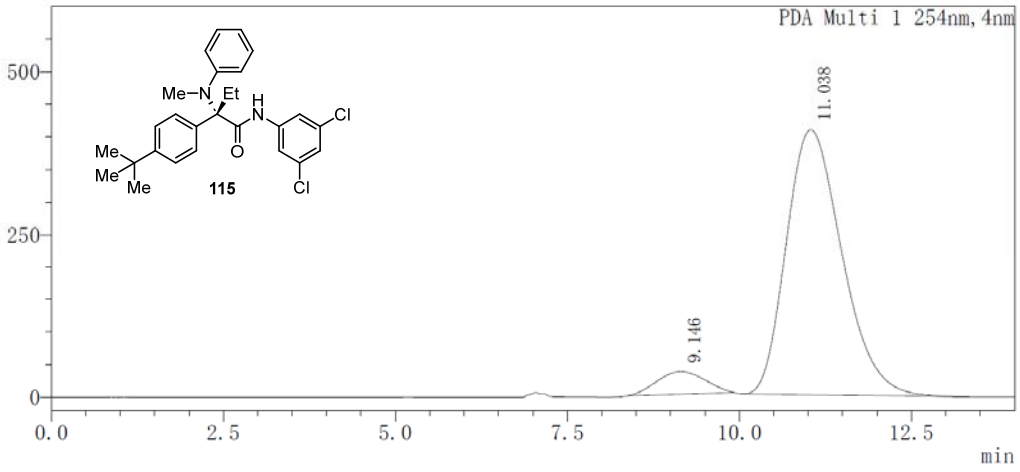


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.479	1148247	49.073
2	11.311	1191626	50.927

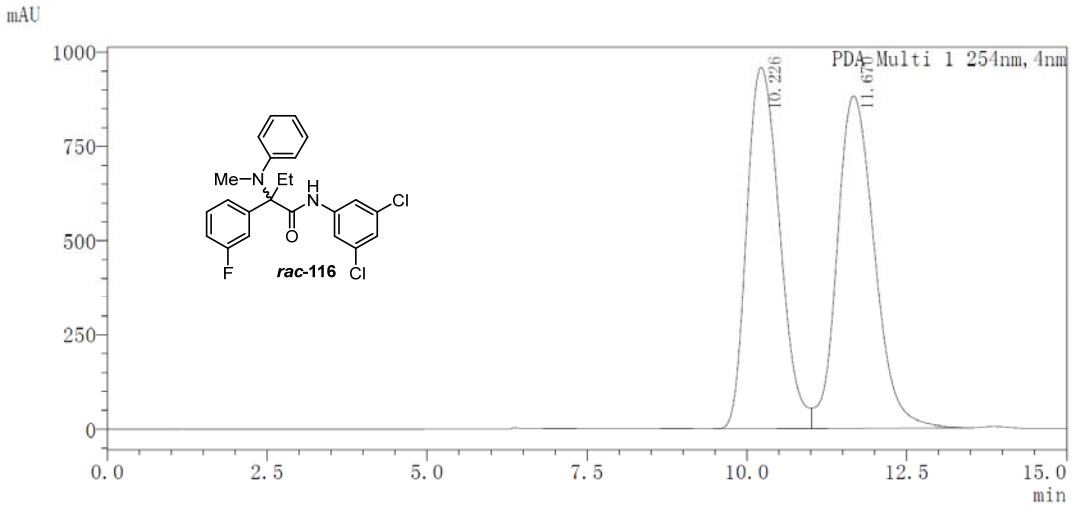
mAU



Peak Table

PDA Ch1 254nm

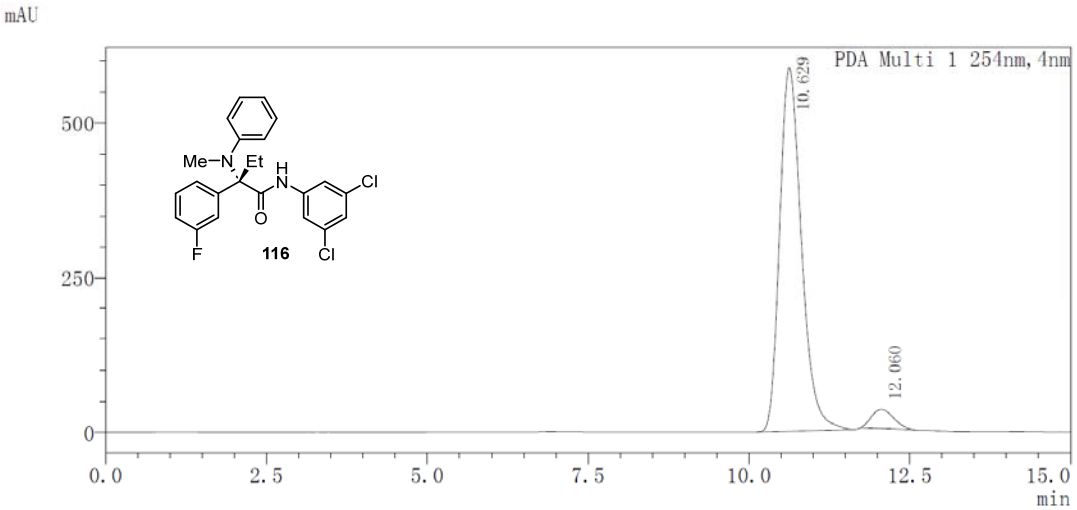
Peak#	Ret. Time	Area	Area%
1	9.146	1679299	6.845
2	11.038	22854871	93.155



Peak Table

PDA Ch1 254nm

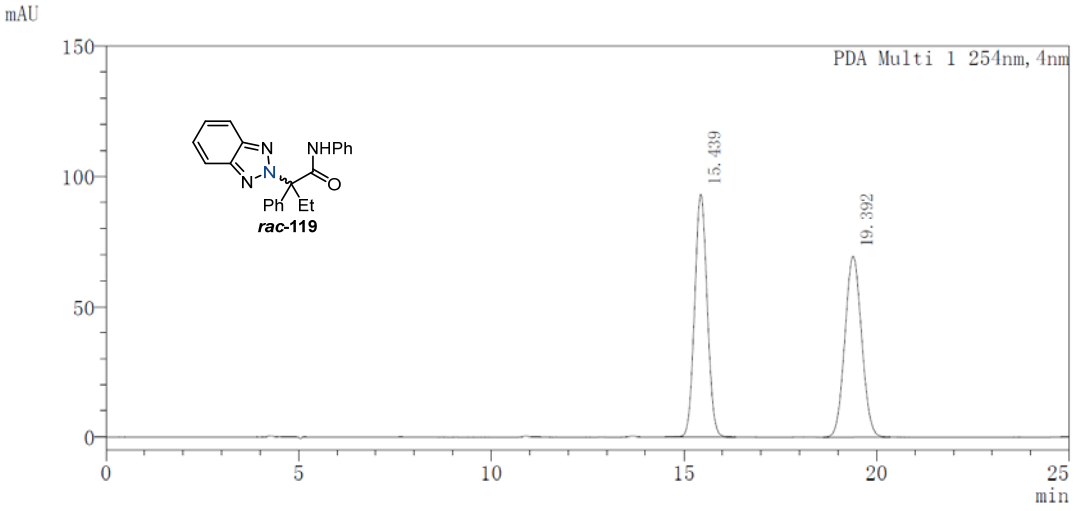
Peak#	Ret. Time	Area	Area%
1	10.226	34228320	48.957
2	11.670	35687001	51.043



Peak Table

PDA Ch1 254nm

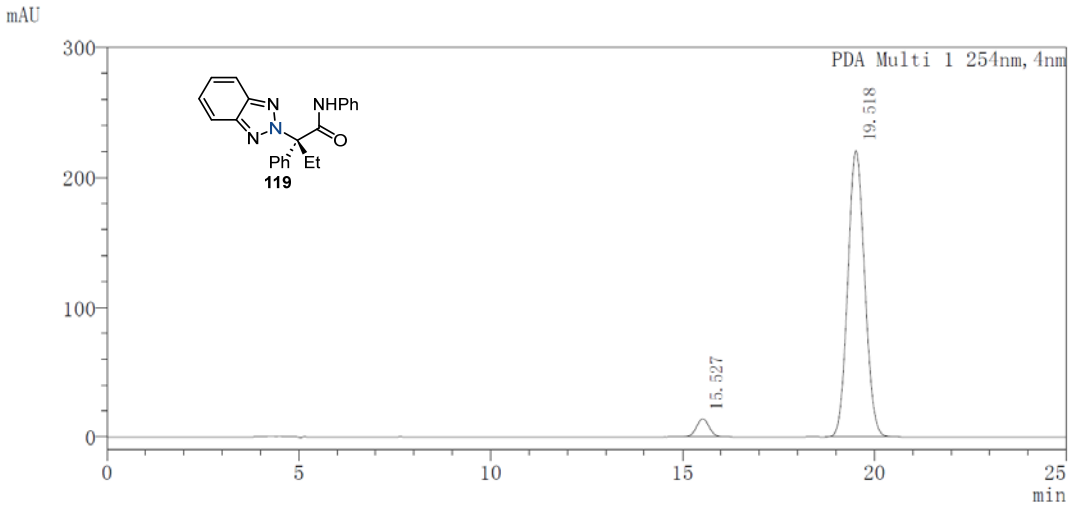
Peak#	Ret. Time	Area	Area%
1	10.629	13838125	94.924
2	12.060	740026	5.076



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.439	2107415	50.054
2	19.392	2102873	49.946

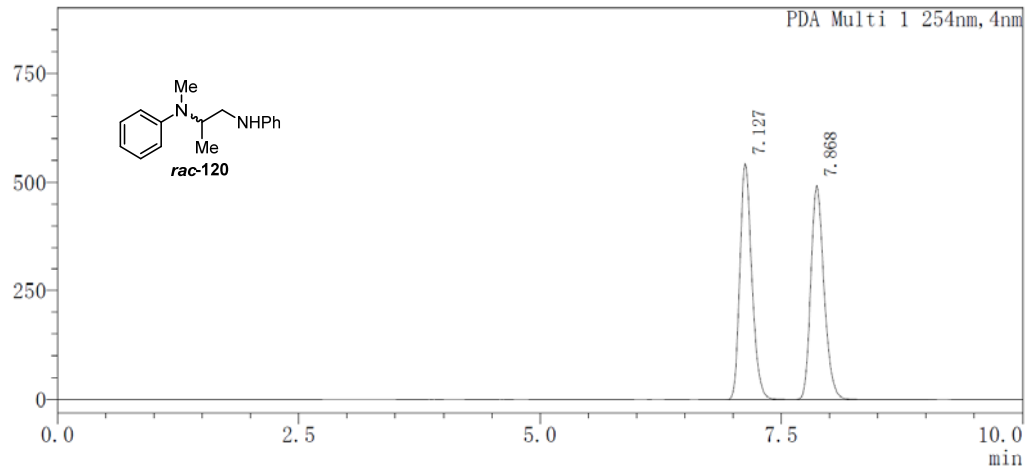


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	15.527	316744	4.477
2	19.518	6758693	95.523

mAU

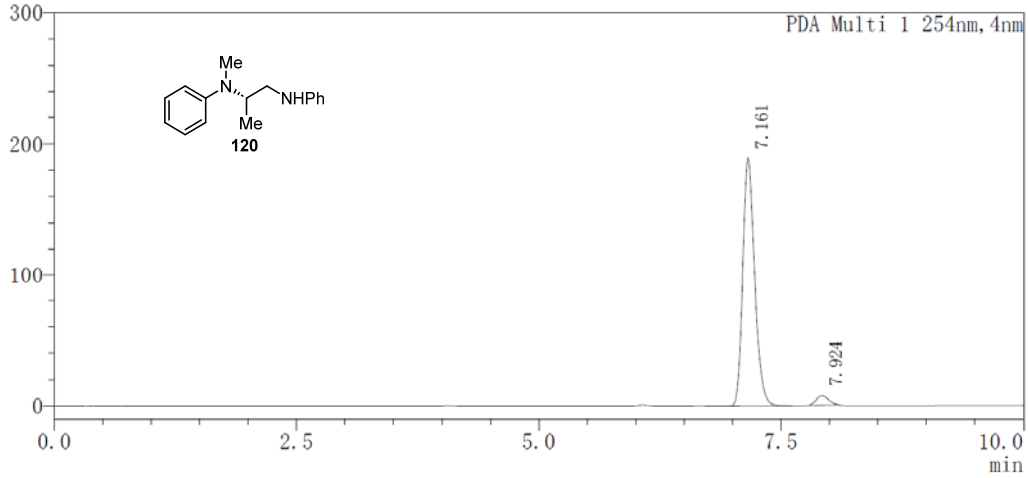


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.127	4679468	49.875
2	7.868	4703007	50.125

mAU

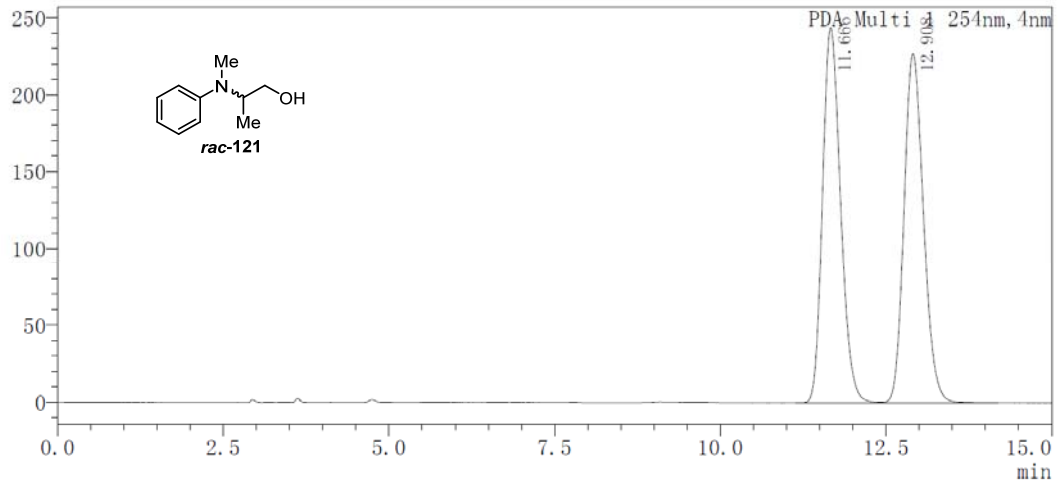


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.161	1605906	96.182
2	7.924	63748	3.818

mAU

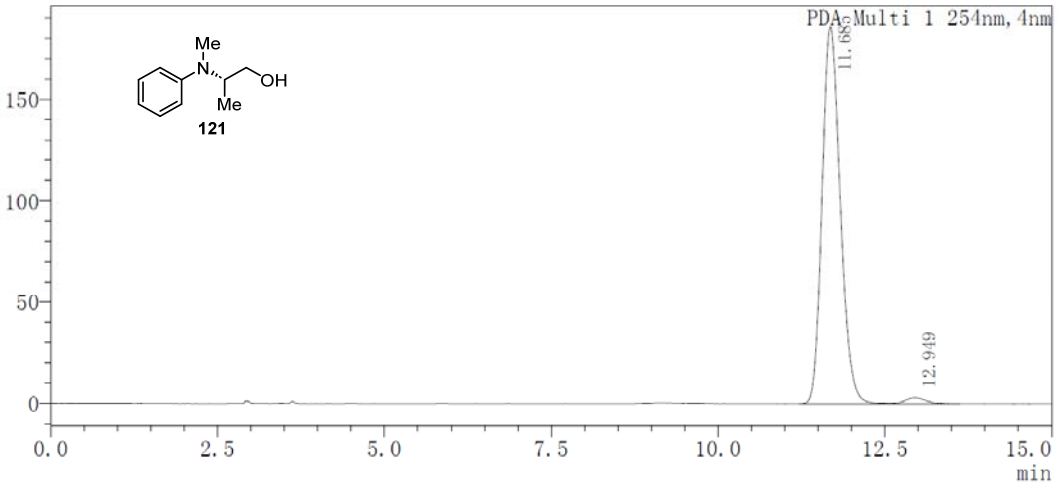


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.666	4631552	49.908
2	12.908	4648715	50.092

mAU

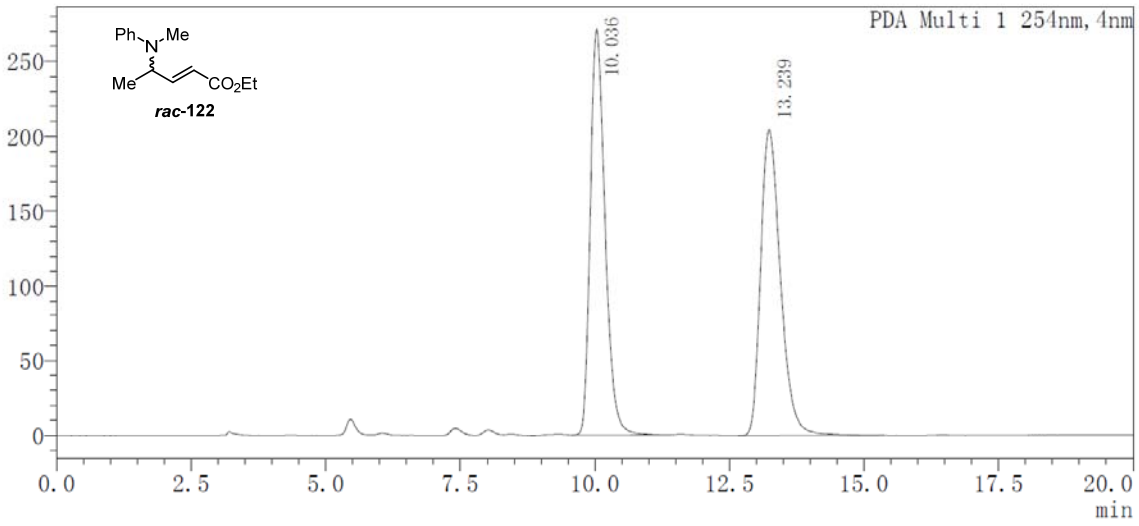


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	11.685	3539216	98.033
2	12.949	71008	1.967

mAU

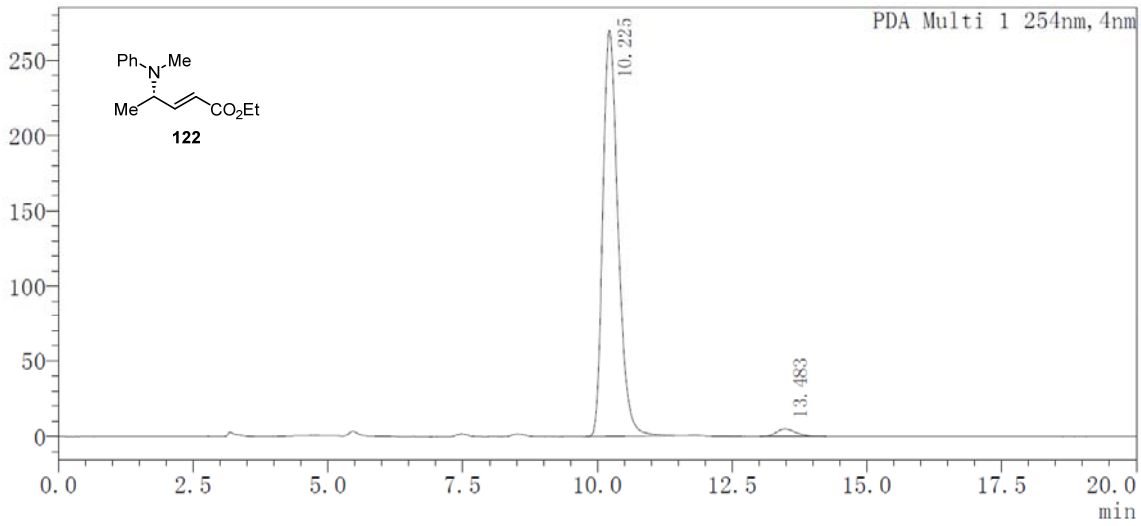


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.036	5189872	49.757
2	13.239	5240488	50.243

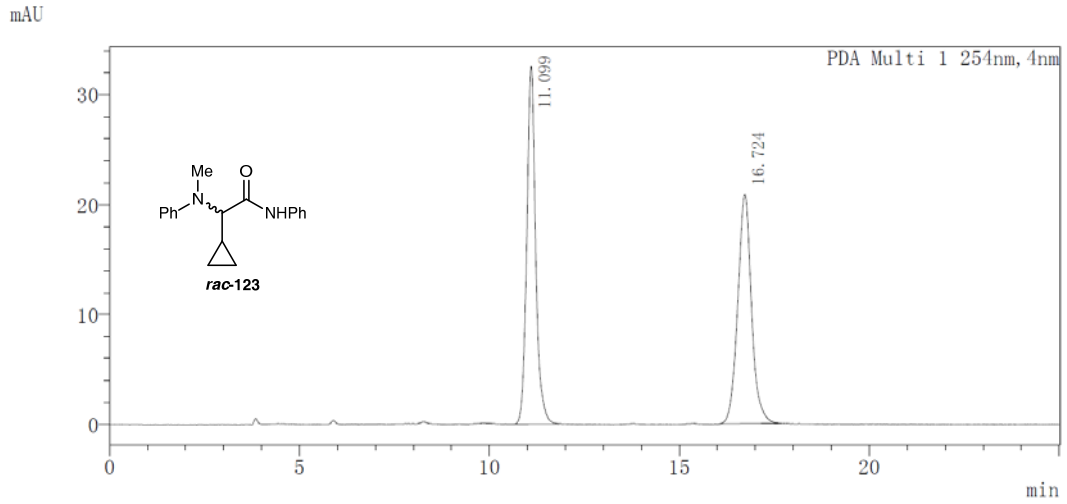
mAU



Peak Table

PDA Ch1 254nm

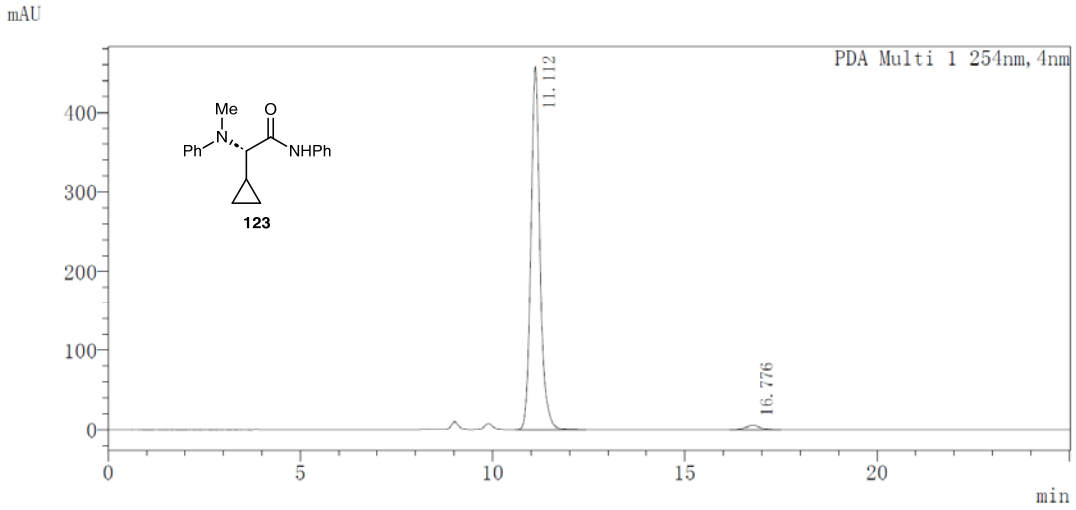
Peak#	Ret. Time	Area	Area%
1	10.225	5307150	97.664
2	13.483	126933	2.336



Peak Table

PDA Ch1 254nm

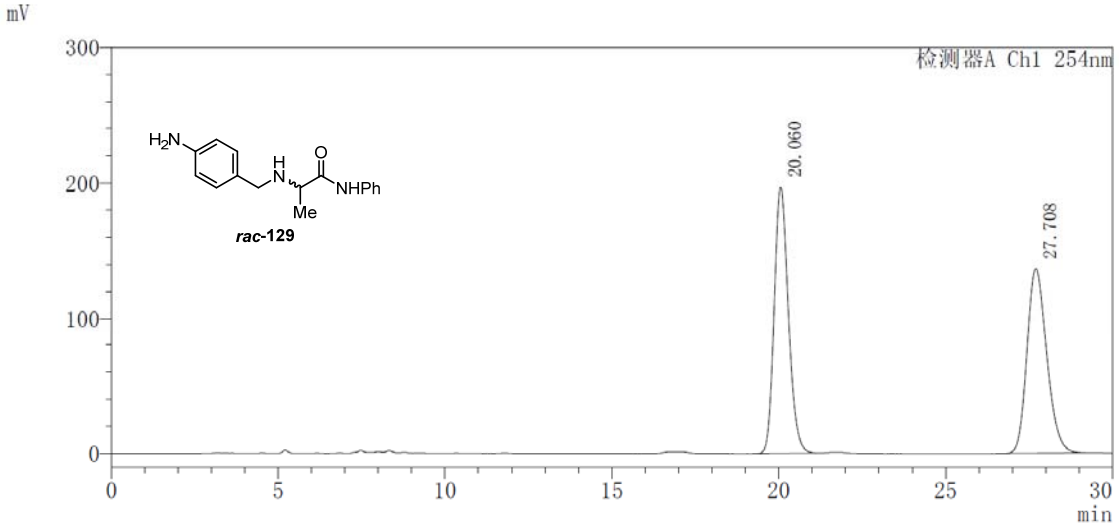
Peak#	Ret. Time	Area	Area%
1	11.099	528060	50.112
2	16.724	525706	49.888



Peak Table

PDA Ch1 254nm

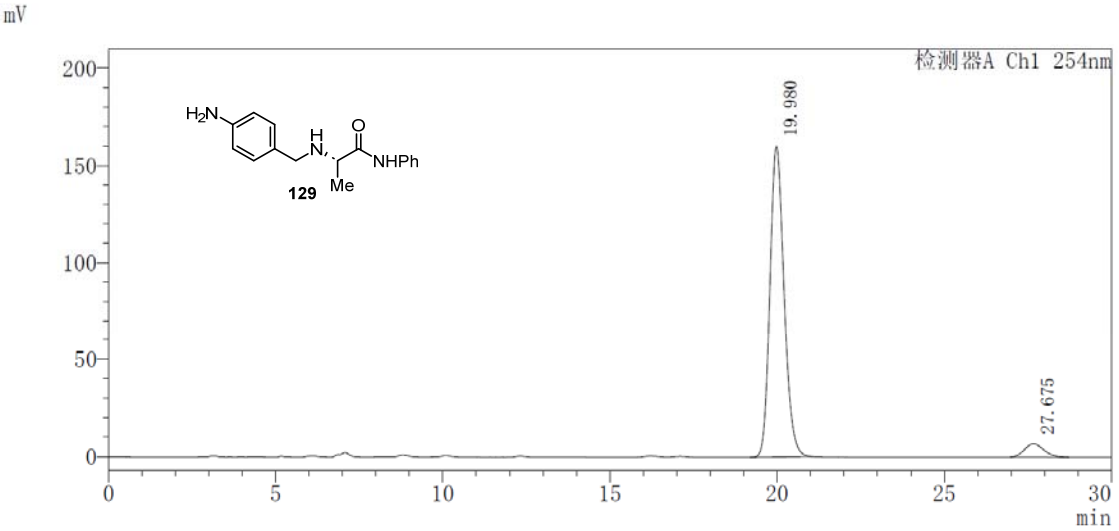
Peak#	Ret. Time	Area	Area%
1	11.112	7549434	98.255
2	16.776	134071	1.745



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	20.060	5820179	50.827
2	27.708	5630878	49.173



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.980	4709144	94.510
2	27.675	273557	5.490