Supporting Information for

Copper-Catalyzed Asymmetric Three-Component Radical 1,2-Carboamination of Acrylamides with Arylamines: Access to Chiral α-Tertiary *N*-Arylamines

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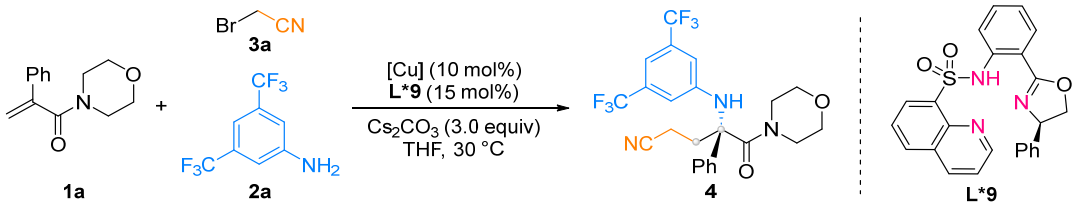
1. General information

Reactions were carried out under an argon atmosphere using Schlenk techniques. Reagents were purchased at the highest commercial quality and used without further purification, unless otherwise stated. CuI was purchased from Aladdin. Anhydrous Benzene were purchased from J&K Chemical Ltd. Cesium carbonate was purchased from Macklin. Analytical thin layer chromatography (TLC) was performed on precoated silica gel 60 GF254 plates. Flash column chromatography was performed using Tsingtao silica gel (60, particle size 0.040–0.063 mm). Visualization on TLC was achieved by use of UV light (254 nm), iodine or basic $KMnO_4$ indicator. NMR spectra were recorded on Bruker DRX-400 spectrometer at 400 MHz for ^{1}H NMR, 100 MHz for ^{13}C NMR and 376 MHz for ^{19}F NMR, respectively, in $CDCl_3$ with tetramethylsilane (TMS) as internal standard. The chemical shifts were expressed in ppm and coupling constants were given in Hz. Data for ^{1}H NMR are recorded as follows: chemical shift (ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quartet; p, pentet, m, multiplet; br, broad), coupling constant (Hz), integration. Data for ^{13}C NMR were reported in terms of chemical shift (δ, ppm). High-resolution mass spectroscopy (HRMS) was obtained on Thermo Scientific Q Exactive using ESI ion source. Enantiomeric excess (ee) was determined using SHIMADZU LC-20AD with SPD-20AV detector or Agilent high-performance liquid chromatography (HPLC) with Hatachi detector (at appropriate wavelength). Column conditions were reported in the experimental section below. X-ray diffraction was measured on a 'Bruker APEX-II CCD' diffractometer with Cu–Kα radiation.

1. Tables for experiments

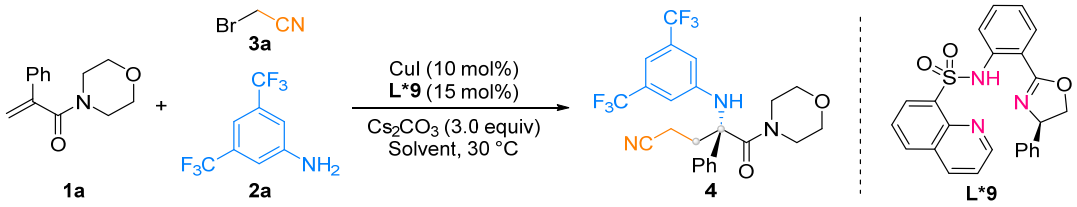
Table S1. Reaction condition optimization: screening of different copper salts[a]



Entry	[Cu]	Yield (%)	ee (%)
1	CuI	78	90
2	CuBr	76	89
3	CuSCN	35	89
4	CuCN	68	88
5	CuTc	30	88
6	$CuBr{\cdot}SMe_2$	66	90
7	$Cu(PPh_3)_2BH_4$	10	89
8	$Cu(OAc)_2$	40	89
9	$Cu(OTf)_2$	5	–

[a] Reaction conditions: **1a** (0.05 mmol), **2a** (0.05 mmol), **3a** (0.075 mmol), [Cu] (10 mol%), **L*9** (15 mol%), and Cs_2CO_3 (3.0 equiv) in THF (1.0 mL) at 30 °C for 72 h under argon; yield of **4** was based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard; the ee value was determined by HPLC analysis.

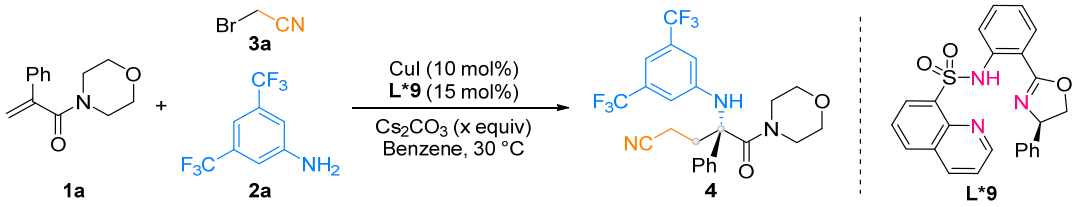
Table S2. Reaction condition optimization: screening of different solvents[a]



Entry	Solvent	Yield (%)	ee (%)
1	THF	78	90
2	benzene	86	93
3	$PhCF_3$	75	92
4	toluene	73	92
5	1,4-dioxane	35	92
6	DCE	82	90
7	DCM	85	91
8	EtOAc	85	90
9	Et_2O	66	89
10	MeCN	trace	

[a] Reaction conditions: **1a** (0.05 mmol), **2a** (0.05 mmol), **3a** (0.075 mmol), CuI (10 mol%), **L*9** (15 mol%), and Cs_2CO_3 (3.0 equiv) in solvent (1.0 mL) at 30 ℃ for 72 h under argon; yield of **4** was based on ^1H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard; the ee value was determined by HPLC analysis.

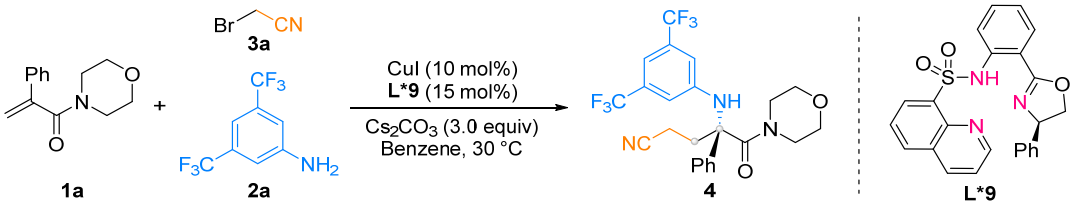
Table S3. Reaction condition optimization: screening of Cs_2CO_3 loading[a]



Entry	Cs_2CO_3 (x equiv)	Yield (%)	ee (%)
1	2.0	78	93
2	3.0	85	93
3	4.0	85	92
4	6.0	65	92
5	8.0	60	92

[a] Reaction conditions: **1a** (0.05 mmol), **2a** (0.05 mmol), **3a** (0.075 mmol), CuI (10 mol%), **L*9** (15 mol%), and Cs_2CO_3 (x equiv) in benzene (1.0 mL) at 30 °C for 72 h under argon; yield of **4** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard; the ee value was determined by HPLC analysis.

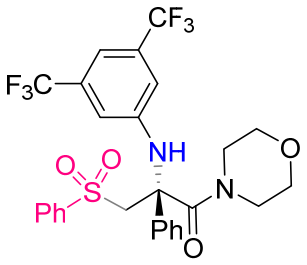
Table S4. Reaction condition optimization: screening of ratio of substrates[a]



Entry	**2a** (x equiv)	**3a** (y equiv)	Yield (%)	ee (%)
1	1.0	1.5	88	92
2	1.0	2.0	90	93
3	1.0	3.0	90	93
4	1.5	1.5	85	92
5	2.0	1.5	80	92
6	2.0	2.0	98	94
7[b]	2.0	2.0	94	94

[a] Reaction conditions: **1a** (0.05 mmol), **2a** (x equiv), **3a** (y equiv), CuI (10 mol%), **L*9** (15 mol%), and Cs_2CO_3 (3.0 equiv) in THF (1.0 mL) at 30 °C for 72 h under argon; yield of **1** was based on ^{1}H NMR analysis of the crude product using 1,3,5-trimethoxybenzene as an internal standard; the ee value was determined by HPLC analysis. [b] **1a** (0.2mmol), **2a** (0.4 mmol), and **3a** (0.4 mmol) in benzene (4.0 mL), yield of **4** was isolated by column chromatography on silica gel.

2. Figure and Scheme for experiments



57

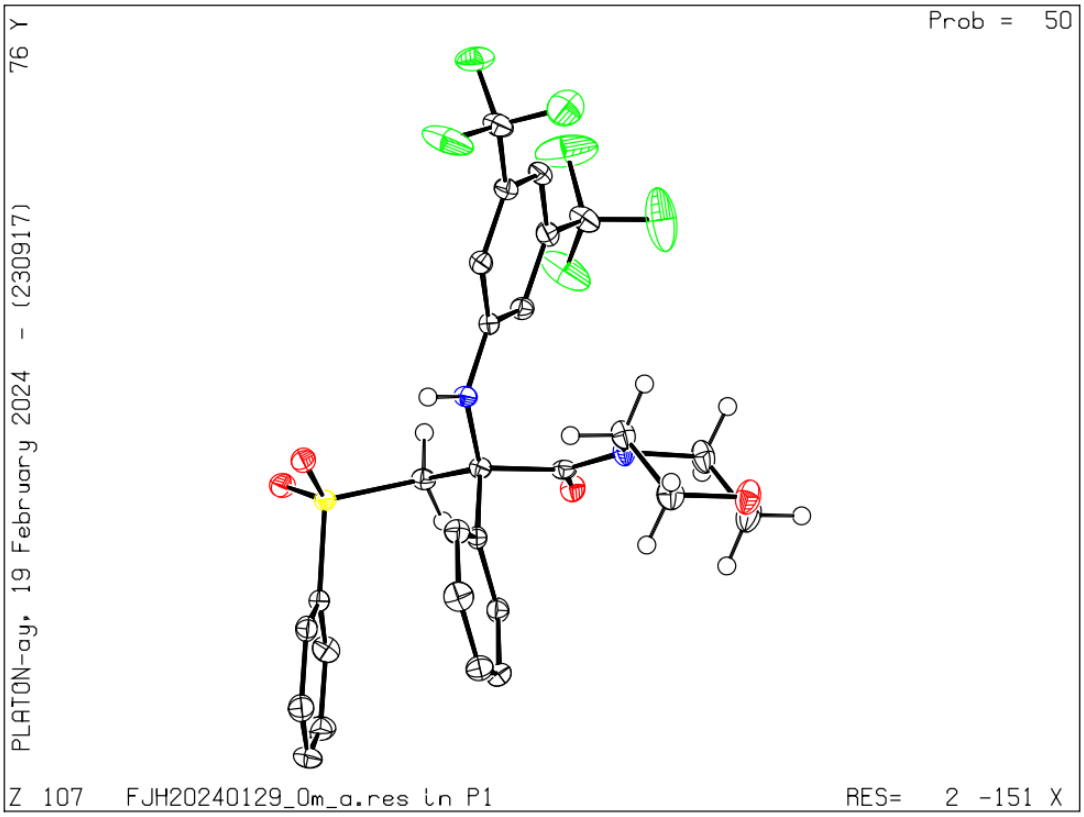
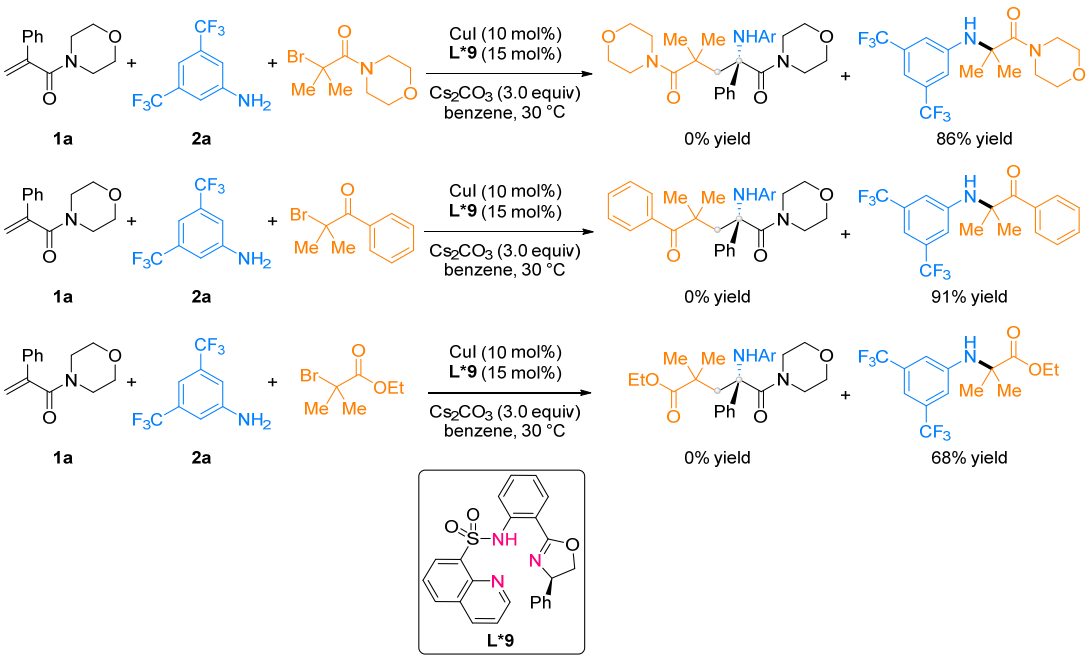
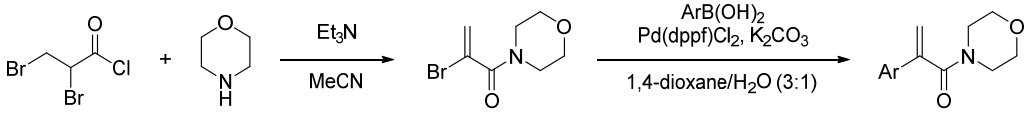


Figure S1. The X-ray structure of **57**.



Scheme 1. Failure examples of radical precursors.

3. Synthesis of 1,1-disubstituted alkene substrates.

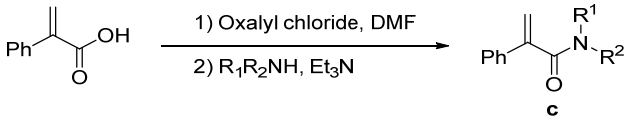


1-a **1**

3.1 General Procedure A:

According to the literature reported procedure with slightly modification.[1,2] To a solution of morpholine (8.7 mL, 100 mmol, 1.0 equiv) and Et_3N (38.8 mL, 300 mmol, 3.0 equiv) in MeCN (250 mL) was added 2,3-dibromopropionyl chloride (11.5 mL, 100 mmol, 1.0 equiv) dropwise at 0 °C. After being stirred at 0 °C for 0.5 h, the reaction mixture was warmed up to room temperature and stirred for another 3 h. After completion, the reaction was quenched with saturated NH_4Cl aqueous solution, and the MeCN was removed by evaporation. The aqueous layer was extracted with EtOAc three times, and the combined organic layers were washed with saturated aqueous brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The residue was purified by flash chromatography to give the desired 2-bromoacrylic amide.

Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with 2-bromoacrylic amide **1-a** (1.1 g, 5.0 mmol, 1.0 equiv), aryl boronic acid (6.0 mmol, 1.2 equiv), $Pd(dppf)Cl_2$ (81.7 mg, 2 mol%), K_2CO_3 (829.2 mg, 6,0 mmol, 1.2 equiv), 1,4-dioxane/water = 3:1 (40 mL). The reaction mixture was stirred at 80 °C for 6 h. Upon completion, the precipitate was filtered off and washed with saturated aqueous brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The residue was purified by column chromatography on silica gel to give the desired coupling product.



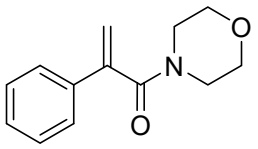
c

3.2 General Procedure B:

To a solution of 2-phenylacrylic acid (1.5 g, 10.0 mmol, 1.0 equiv) in CH_2Cl_2 (20 mL) was added oxalyl chloride (1.0 mL, 12.0 mmol, 1.2 equiv) and a drop of DMF at 0 °C. The reaction mixture was stirred at room temperature for 1 h. Then, the solvent was removed under reduced pressure to give the acyl chloride, which was used directly in the next step without further purification.

To a solution of amine (10.0 mmol, 1.0 equiv) and Et_3N (1.2 g, 12.0 mmol, 1.2 equiv) in CH_2Cl_2 (20 mL) was added the above acyl chloride in CH_2Cl_2 (5 mL) dropwise at 0 °C. Then the reaction mixture was warmed up to room temperature and stirred for 3 h. Upon completion, the reaction was quenched with 1M HCl aqueous solution (25 mL) and extracted with CH_2Cl_2 Three times. The combined organic phase was washed with saturated aqueous brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The residue was purified by column chromatography on silica gel to give the desired product.

1-Morpholino-2-phenylprop-2-en-1-one (1a)



1a

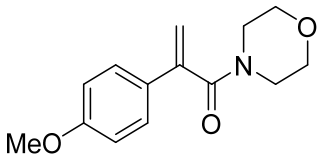
According to **General Procedure B** with 2-phenylacrylic acid (1.5 g, 10 mmol, 1.0 equiv) and morpholine (1.0 g, 10 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1a** as a white solid (1.9 g, 87% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.31 (m, 5H), 5.77 (s, 1H), 5.39 (s, 1H), 3.78 – 3.72 (m, 4H), 3.50 – 3.48 (m, 2H), 3.38 – 3.36 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 144.4, 135.3, 128.9, 128.7, 125.6, 114.5, 66.8, 47.3, 41.9.

HRMS (ESI) m/z calcd. for $C_{13}H_{16}NO_2$ $[M+H]^+$ 218.1176, found 218.1176.

2-(4-Methoxyphenyl)-1-morpholinoprop-2-en-1-one (1b)



1b

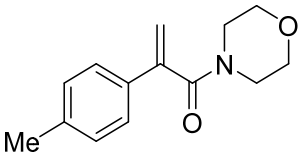
According to **General Procedure A** with **1-a** (1.1 g, 5 mmol, 1.0 equiv) and *p*-anisylboronic acid (0.9 g, 6 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1b** as a yellowish solid (1.0 g, 82% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.32 (m, 2H), 6.93 – 6.83 (m, 2H), 5.64 (s, 1H), 5.25 (s, 1H), 3.81 (s, 3H), 3.79 – 3.69 (m, 4H), 3.52 – 3.45 (m, 2H), 3.40 – 3.33 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 159.9, 143.7, 135.6, 127.8, 126.9, 114.2, 113.2, 112.3, 66.80, 66.77, 55.3, 47.2, 41.8.

HRMS (ESI) m/z calcd. for $C_{14}H_{17}NO_3$ $[M+H]^+$ 248.1281, found 248.1281.

1-Morpholino-2-(*p*-tolyl)prop-2-en-1-one (1c)



1c

According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and *p*-tolylboronic acid (1.6 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by

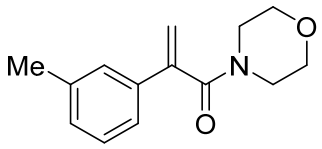
column chromatography on silica gel to yield the product **1c** as a white solid (1.5 g, 64% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.28 (m, 2H), 7.22 – 7.13 (m, 2H), 5.72 (s, 1H), 5.32 (s, 1H), 4.17 – 3.59 (m, 4H), 3.51 – 3.41 (m, 2H), 3.39 – 3.26 (m, 2H), 2.35 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 144.2, 138.7, 132.4, 129.5, 125.4, 113.4, 66.7, 66.7, 47.2, 41.8, 21.1.

HRMS (ESI) m/z calcd. for $C_{14}H_{17}NO_2$ $[M+H]^+$ 232.1332, found 232.1333.

1-Morpholino-2-(*m*-tolyl)prop-2-en-1-one (1d)



1d

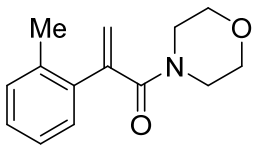
According to **General Procedure A** with **1-a** (0.7 g, 3 mmol, 1.0 equiv) and *m*-tolylboronic acid (0.5 g, 4 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1d** as a white solid (0.3 g, 48% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.19 (m, 3H), 7.16 – 7.11 (m, 1H), 5.75 (s, 1H), 5.36 (s, 1H), 3.83 – 3.66 (m, 4H), 3.54 – 3.44 (m, 2H), 3.42 – 3.30 (m, 2H), 2.36 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 144.6, 138.5, 135.3, 129.5, 128.8, 126.2, 122.7, 114.3, 66.8, 47.3, 41.9, 21.4.

HRMS (ESI) m/z calcd. for $C_{14}H_{18}NO_2$ $[M+H]^+$ 232.1332, found 232.1327.

1-Morpholino-2-(*o*-tolyl)prop-2-en-1-one (1e)



1e

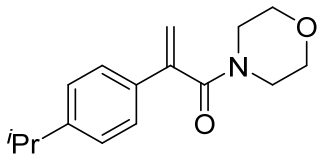
According to **General Procedure A** with **1-a** (1.1 g, 5 mmol, 1.0 equiv) and *o*-tolylboronic acid (0.8 g, 6 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1e** as a white solid (0.3 g, 26% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.17 (m, 4H), 5.75 (d, J = 1.1 Hz, 1H), 5.58 (d, J = 1.1 Hz, 1H), 3.80 – 3.56 (m, 4H), 3.54 – 3.26 (m, 4H), 2.35 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 145.0, 137.2, 135.3, 131.0, 128.9, 128.3, 126.4, 122.2, 69.39 – 65.37 (m), 47.53 – 47.06 (m), 42.73 – 41.96 (m), 20.5.

HRMS (ESI) m/z calcd. for $C_{14}H_{18}NO_2$ $[M+H]^+$ 232.1332, found 232.1327.

2-(4-Isopropylphenyl)-1-morpholinoprop-2-en-1-one (1f)



1f

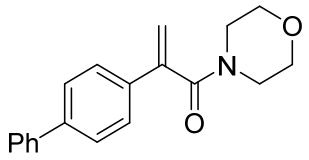
According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and (4-isopropylphenyl) boronic acid (2.0 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1f** as a light brown oil (1.1 g, 43% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 – 7.32 (m, 2H), 7.25 – 7.20 (m, 2H), 5.73 (s, 1H), 5.32 (s, 1H), 3.83 – 3.67 (m, 4H), 3.54 – 3.48 (m, 2H), 3.41 – 3.35 (m, 2H), 2.90 (h, *J* = 6.9 Hz, 1H), 1.25 (d, *J* = 6.9 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.6, 149.7, 144.2, 132.8, 126.9, 125.5, 113.4, 66.8, 66.8, 47.3, 41.9, 33.8, 23.8.

HRMS (ESI) m/z calcd. for $C_{16}H_{21}NO_2$ $[M+H]^+$ 260.1645, found 260.1644.

2-([1,1'-Biphenyl]-4-yl)-1-morpholinoprop-2-en-1-one (1g)



1g

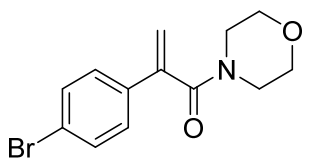
According to **General Procedure A** with **1-a** (1.1 g, 5 mmol, 1.0 equiv) and 4-biphenylboronic acid (1.2 g, 6 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1g** as a white solid (0.8 g, 54% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 – 7.57 (m, 4H), 7.53 – 7.48 (m, 2H), 7.48 – 7.41 (m, 2H), 7.39 – 7.33 (m, 1H), 5.83 (s, 1H), 5.41 (s, 1H), 3.86 – 3.69 (m, 4H), 3.58 – 3.48 (m, 2H), 3.45 – 3.36 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 143.9, 141.5, 140.2, 134.1, 128.8, 127.6, 127.5, 127.0, 126.0, 114.3, 66.9, 47.3, 41.9.

HRMS (ESI) m/z calcd. for $C_{19}H_{19}NO_2$ $[M+H]^+$ 294.1489, found 294.1488.

2-(4-Bromophenyl)-1-morpholinoprop-2-en-1-one (1h)



1h

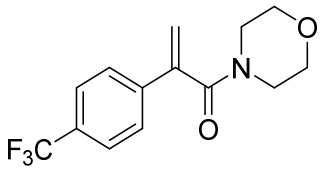
According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and 4-bromophenylboronic acid (2.4 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1h** as a white solid (1.1 g, 37% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 – 7.48 (m, 2H), 7.32 – 7.29 (m, 2H), 5.77 (s, 1H), 5.41 (s, 1H), 3.79 – 3.69 (m, 2H), 3.51 (t, *J* = 4.8 Hz, 2H), 3.36 (t, *J* = 4.8 Hz, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 143.3, 134.3, 132.1, 127.2, 122.9, 115.1, 66.8, 47.3, 41.9.

HRMS (ESI) m/z calcd. for $C_{13}H_{15}BrNO_2$ $[M+H]^+$ 296.0281, found 296.0282.

1-Morpholino-2-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (1i)



1i

According to **General Procedure A** with **1-a** (220 mg, 1 mmol, 1.0 equiv) and (4-(trifluoromethyl) phenyl) boronic acid (230 mg, 1.2 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1i** as a white solid (250.6 mg, 72% yield).

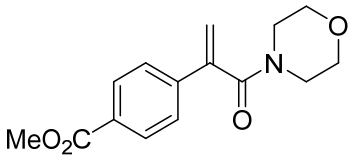
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.60 (m, 2H), 7.59 – 7.52 (m, 2H), 5.87 (s, 1H), 5.51 (s, 1H), 3.83 – 3.68 (m, 4H), 3.56 – 3.47 (m, 2H), 3.42 – 3.33 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 143.2, 138.8, 130.6 (q, *J* = 32.7 Hz), 126.0, 125.9 (q, *J* = 3.9 Hz), 125.9, 123.8 (q, *J* = 270.4 Hz), 116.7, 66.7, 47.3, 42.0.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.75.

HRMS (ESI) m/z calcd. for $C_{14}H_{14}F_3NO_2$ $[M+H]^+$ 286.1049, found 286.1049.

Methyl 4-(3-morpholino-3-oxoprop-1-en-2-yl)benzoate (1j)



1j

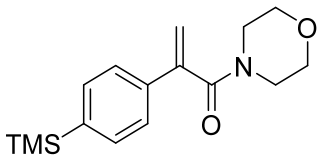
According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and (4-(methoxycarbonyl) phenyl) boronic acid (2.0 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1j** as a yellowish solid (2.2 g, 80% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 – 7.95 (m, 2H), 7.57 – 7.43 (m, 2H), 5.88 (s, 1H), 5.51 (s, 1H), 3.93 (s, 3H), 3.83 – 3.66 (m, 4H), 3.60 – 3.43 (m, 2H), 3.40 – 3.29 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 166.4, 143.6, 139.5, 130.2, 130.1, 125.5, 116.6, 66.7, 52.2, 47.2, 41.9.

HRMS (ESI) m/z calcd. for $C_{15}H_{17}NO_4$ $[M+H]^+$ 276.1230, found 276.1230.

1-Morpholino-2-(4-(trimethylsilyl)phenyl)prop-2-en-1-one (1k)



1k

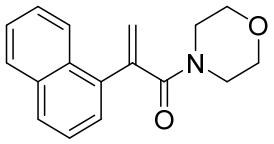
According to **General Procedure A** with **1-a** (1.1 g, 5 mmol, 1.0 equiv) and 4-triemthylsilylphenylboronic acid (1.2 g, 6 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1k** as light brown solid (1.5 g, 94% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.49 (m, 2H), 7.42 – 7.37 (m, 2H), 5.79 (s, 1H), 5.38 (s, 1H), 3.80 – 3.70 (m, 4H), 3.53 – 3.47 (m, 2H), 3.40 – 3.34 (m, 2H), 0.26 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 144.4, 141.5, 135.5, 133.9, 124.7, 114.6, 66.8, 66.8, 47.3, 41.9, -1.2.

HRMS (ESI) m/z calcd. for $C_{16}H_{23}NO_2Si$ $[M+H]^+$ 290.1571, found 290.1571.

1-Morpholino-2-(naphthalen-**1-yl) prop-2-en-1-one (1l)**



1l

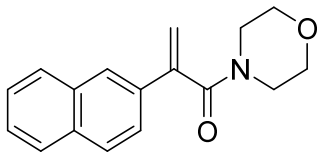
According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and naphthalen-1-ylboronic acid (2.1 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1l** as a white solid (1.8 g, 69% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 – 8.16 (m, 1H), 7.91 – 7.79 (m, 2H), 7.56 – 7.42 (m, 4H), 6.01 – 5.96 (m, 1H), 5.80 – 5.75 (m, 1H), 3.64 (d, J = 28.2 Hz, 4H), 3.27 (d, J = 30.2 Hz, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 144.1, 135.3, 133.9, 130.5, 128.9, 128.6, 126.7, 126.1, 126.0, 125.3, 124.8, 123.3, 66.6, 66.3, 47.1, 42.5.

HRMS (ESI) m/z calcd. for $C_{17}H_{17}NO_2$ $[M+H]^+$ 268.1332, found 268.1331.

1-Morpholino-2-(naphthalen-2-yl)prop-2-en-1-one (1m)



1m

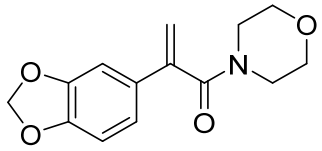
According to **General Procedure A** with **1-a** (2.2 g, 10 mmol, 1.0 equiv) and naphthalen-2-ylboronic acid (2.1 g, 12 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1m** as a white solid (2.1 g, 79% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 – 7.77 (m, 4H), 7.65 – 7.56 (m, 1H), 7.54 – 7.42 (m, 2H), 5.90 (s, 1H), 5.47 (s, 1H), 3.93 – 3.67 (m, 4H), 3.56 – 3.31 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 144.4, 133.24, 133.21, 132.5, 128.7, 128.3, 127.6, 126.6, 126.5, 125.2, 122.8, 114.8, 66.8, 47.3, 41.9.

HRMS (ESI) m/z calcd. for $C_{17}H_{17}NO_2$ $[M+H]^+$ 268.1332, found 268.1331.

2-(Benzo[*d*][1,3]dioxol-5-yl)-1-morpholinoprop-2-en-1-one (1n)



1n

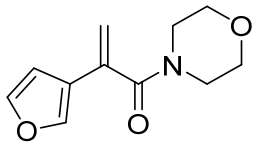
According to **General Procedure A** with **1-a** (1.1 g, 5.0 mmol, 1.0 equiv) and benzo[*d*][1,3]dioxol-5-ylboronic acid (1.0 g, 6.0 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1n** as a white solid (1.2 g, 92% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 6.95 (d, J = 1.8 Hz, 1H), 6.88 (dd, J = 8.1, 1.8 Hz, 1H), 6.79 (d, J = 8.1 Hz, 1H), 5.99 (s, 2H), 5.63 (s, 1H), 5.27 (s, 1H), 3.77 – 3.71 (m, 4H), 3.53 – 3.51 (m, 2H), 3.39 – 3.36 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 148.2, 148.1, 143.8, 129.5, 119.9, 112.9, 108.4, 105.6, 101.3, 66.8, 66.7, 47.2, 41.8.

HRMS (ESI) m/z calcd. for $C_{14}H_{16}NO_4$ $[M+H]^+$ 262.1074, found 262.1074.

2-(Furan-3-yl)-1-morpholinoprop-2-en-1-one (1o)



1o

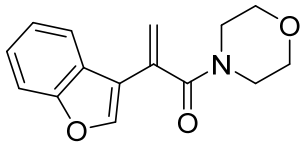
According to **General Procedure A** with **1-a** (1.1 g, 5.0 mmol, 1.0 equiv) and furan-3-ylboronic acid (671.3 mg, 6.0 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1o** as a light brown oil. (980.0 mg, 95% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (s, 1H), 7.41 (t, J = 1.6 Hz, 1H), 6.56 – 6.53 (m, 1H), 5.56 (s, 1H), 5.22 (s, 1H), 3.73 – 3.48 (m, 8H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 143.8, 140.4, 135.5, 122.4, 112.9, 107.4, 66.9, 66.7, 47.4, 41.9.

HRMS (ESI) m/z calcd. for $C_{11}H_{14}NO_3$ $[M+H]^+$ 208.0968, found 208.0969.

2-(Benzofuran-3-yl)-1-morpholinoprop-2-en-1-one (1p)



1p

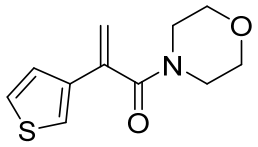
According to **General Procedure A** with **1-a** (1.1 g, 5.0 mmol, 1.0 equiv) and benzofuran-2-boronic acid (971.7 mg, 6.0 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1p** as a brown oil (1.0 g, 78% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 – 7.75 (m, 2H), 7.53 – 7.51 (m, 1H), 7.38 – 7.29 (m, 2H), 5.94 (s, 1H), 5.48 (s, 1H), 3.77 – 3.46 (m, 8H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 155.7, 143.7, 135.7, 125.0, 124.8, 123.3, 120.5, 117.2, 115.0, 111.9, 66.8, 66.7, 47.4, 41.9.

HRMS (ESI) m/z calcd. for $C_{15}H_{16}NO_3$ $[M+H]^+$ 258.1125, found 258.1126.

1-Morpholino-2-(thiophen-3-yl) prop-2-en-1-one (1q)



1q

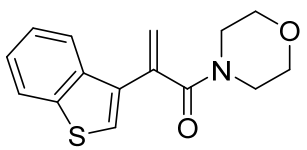
According to **General Procedure A** with **1-a** (1.1 g, 5.0 mmol, 1.0 equiv) and thiophen-3-ylboronic acid (767.7 mg, 6.0 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1q** as a yellow oil (1.0 g, 90% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 – 7.31 (m, 1H), 7.28 – 7.27 (m, 1H), 7.23 (dd, J = 5.0, 1.4 Hz, 1H), 5.68 (s, 1H), 5.29 (s, 1H), 3.78 – 3.72 (m, 4H), 3.55 (t, J = 4.8 Hz, 2H), 3.43 (t, J = 4.8 Hz, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 139.2, 137.1, 126.6, 124.7, 122.7, 113.1, 66.9, 66.7, 47.4, 41.9.

HRMS (ESI) m/z calcd. for $C_{11}H_{14}NO_2S$ $[M+H]^+$ 224.0740, found 224.0741.

2-(Benzo[*b*]thiophen-3-yl)-1-morpholinoprop-2-en-1-one (1r)**



1r

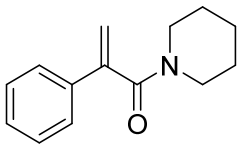
According to **General Procedure A** with **1-a** (1.1 g, 5.0 mmol, 1.0 equiv) and benzo[*b*]thiophen-3-ylboronic acid (1.1 g, 6.0 mmol, 1.2 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1r** as a brown oil (1.1 g, 80% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 7.5 Hz, 1H), 7.39 (p, *J* = 7.3 Hz, 2H), 5.84 (s, 1H), 5.68 (s, 1H), 3.80 – 3.60 (m, 4H), 3.35 (d, *J* = 7.3 Hz, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 140.6, 139.2, 136.3, 132.1, 125.2, 124.6, 123.0, 122.4, 118.1, 66.5, 66.4, 47.1, 42.1.

HRMS (ESI) m/z calcd. for $C_{15}H_{16}NO_2S$ $[M+H]^+$ 274.0896, found 274.0896.

2-Phenyl-1-(piperidi*n*-1-yl) prop-2-en-1-one (1s)



1s

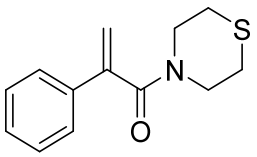
According to **General Procedure B** with 2-phenylacrylic acid (741.8 mg, 5.0 mmol, 1.0 equiv) and piperidine (425.8 mg, 5.0 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1s** as a colorless oil (1.0 g, 93% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 7.2 Hz, 2H), 7.37 – 7.28 (m, 3H), 5.71 (s, 1H), 5.33 (s, 1H), 3.68 (s, 2H), 3.32 – 3.29 (m, 2H), 1.61 (s, 4H), 1.36 (s, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 145.0, 135.5, 128.6, 128.4, 125.5, 113.2, 47.9, 42.3, 26.1, 25.5, 24.4.

HRMS (ESI) m/z calcd. for $C_{14}H_{18}NO$ $[M+H]^+$ 216.1383, found 216.1385.

2-Phenyl-1-thiomorpholinoprop-2-en-1-one (1t)



1t

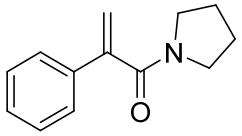
According to **General Procedure B** with 2-phenylacrylic acid (1.5 g, 10 mmol, 1.0 equiv) and thiomorpholine (1.0 g, 10 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1t** as a colorless oil (1.1 g, 47% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.29 (m, 5H), 5.74 (s, 1H), 5.36 (s, 1H), 4.04 – 3.95 (m, 2H), 3.69 – 3.54 (m, 2H), 2.73 – 2.62 (m, 2H), 2.42 – 2.31 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 144.8, 135.3, 128.9, 128.8, 125.6, 114.1, 49.4, 43.8, 27.7, 27.4.

HRMS (ESI) m/z calcd. for $C_{13}H_{15}NOS$ $[M+H]^+$ 234.0947, found 234.0947.

2-Phenyl-1-(pyrrolidi*n*-1-yl) prop-2-en-1-one (1u)



1u

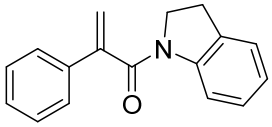
According to **General Procedure B** with 2-phenylacrylic acid (741.8 mg, 5.0 mmol, 1.0 equiv) and pyrrolidine (355.6 mg, 5.0 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1u** as a colorless oil (845.3 mg, 84% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.43 (m, 2H), 7.37 – 7.28 (m, 3H), 5.72 (s, 1H), 5.42 (s, 1H), 3.60 (t, *J* = 7.0 Hz, 2H), 3.22 (t, *J* = 6.6 Hz, 2H), 1.93 – 1.78 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 146.2, 135.5, 128.7, 128.3, 125.8, 114.5, 48.0, 45.4, 25.9, 24.4.

HRMS (ESI) m/z calcd. for $C_{13}H_{16}NO$ $[M+H]^+$ 202.1226, found 202.1227.

1-(Indoli*n*-1-yl)-2-phenylprop-2-en-1-one (1v)



1v

According to **General Procedure B** with 2-phenylacrylic acid (1.5 g, 10 mmol, 1.0 equiv) and indoline (1.2 g, 10 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1v** as a brown oil (1.3 g, 53% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 8.0 Hz, 1H), 7.53 – 7.48 (m, 2H), 7.40 – 7.32 (m, 3H), 7.30 – 7.23 (m, 1H), 7.21 – 7.16 (m, 1H), 7.11 – 7.03 (m, 1H), 5.81 (s, 1H), 5.53 (s, 1H), 3.97 – 3.74 (m, 2H), 3.11 – 3.00 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 146.3, 142.5, 135.1, 131.8, 128.9, 128.7, 127.5, 125.8, 124.6, 124.2, 117.4, 115.0, 49.3, 28.1.

HRMS (ESI) m/z calcd. for $C_{17}H_{15}NO$ $[M+H]^+$ 250.1226, found 250.1226.

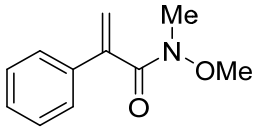
***N*, *N*-dimethyl-2-phenylacrylamide (1w)**

1w

According to **General Procedure B** with 2-phenylacrylic acid (741.8 mg, 5.0 mmol, 1.0 equiv) and dimethylamine hydrochloride (225.4 mg, 5.0 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1w** as a colorless oil (400.0 mg, 46% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7. 40 (m, 2H), 7.38 – 7.29 (m, 3H), 5.74 (s, 1H), 5.36 (s, 1H), 3.08 (s, 3H), 2.90 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 145.1, 135.5, 128.8, 128.5, 125.6, 114.1, 38.5, 34.7.
HRMS (ESI) m/z calcd. for $C_{11}H_{14}NO$ $[M+H]^+$ 176.1070, found 176.1071.

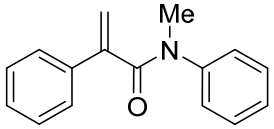
***N*-methoxy-*N*-methyl-2-phenylacrylamide (1x)**



1x

According to **General Procedure B** with 2-phenylacrylic acid (741.8 mg, 5.0 mmol, 1.0 equiv) and *N*,*O*-dimethylhydroxylamine hydrochloride (305.5 mg, 5.0 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **1x** as a colorless oil (680.0 mg, 71% yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.28 (m, 5H), 5.70 (s, 1H), 5.50 (s, 1H), 3.47 (brs, 3H), 3.25 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.6, 144.7, 136.0, 128.5, 128.3, 125.7, 115.5, 60.9, 32.6.
HRMS (ESI) m/z calcd. for $C_{11}H_{14}NO_2$ $[M+H]^+$ 192.1019, found 192.1020.

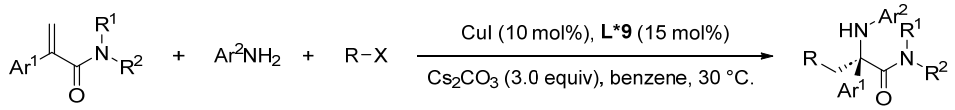
***N*-methyl-*N*,2-diphenylacrylamide (67)**



67

According to **General Procedure B** with 2-phenylacrylic acid (741.8 mg, 5.0 mmol, 1.0 equiv) and *N*-Methylaniline (535.8 mg, 5.0 mmol, 1.0 equiv), the reaction mixture was purified by column chromatography on silica gel to yield the product **67** as a colorless oil (745.6 mg, 63% yield).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.07 (m, 8H), 6.93 (brs, 2H), 5.47 (brs, 1H), 5.37 (s, 1H), 3.39 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.5, 145.7, 143.6, 136.8, 128.8, 128.2, 127.9, 126.9, 126.8, 126.0, 117.7, 37.3.
HRMS (ESI) m/z calcd. for $C_{16}H_{16}NO$ $[M+H]^+$ 238.1226, found 238.1221.

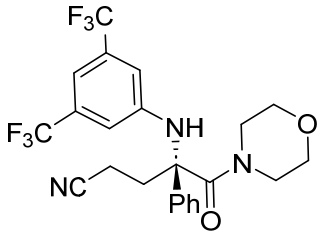
4. Enantioselective 1,2-carboamination of alkenes with arylamines.



4.1 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*9** (12.9 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.6 mmol, 3.0 equiv), amide-based alkene (0.20 mmol, 1.0 equiv), arylamine (0.40 mmol, 2.0 equiv), radical precursor (0.40 mmol, 2.0 equiv) and anhydrous benzene (4.0 mL) were sequentially added into the mixture and stirred at 30 ℃ 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 preparative thi*n*-layer chromatography on silica gel to afford the desired product.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (4)



4

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **4** as a white solid (91.2 mg, 94% yield, 94% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.57 min, t_R (major) = 13.33 min.

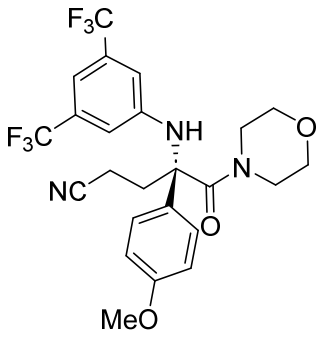
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.36 (m, 5H), 7.13 (s, 1H), 6.94 (s, 2H), 6.29 (brs, 1H), 3.78 – 2.80 (m, 9H), 2.69 – 2.62 (m, 1H), 2.49 – 2.41 (m, 1H), 2.22 – 2.14 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 144.4, 138.3, 132.38 (q, *J* = 32.7 Hz), 129.7, 129.0, 125.7, 123.2 (q, *J* = 271.2 Hz), 119.0, 113.7 (q, *J* = 3.0 Hz), 111.2, 65.9, 65.0, 46.3, 29.6, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.30.

HRMS (ESI) m/z calcd. for $C_{23}H_{22}F_6N_3O_2$ $[M + H]^+$ 486.1611, found 486.1608.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(4-methoxyphenyl)-5-morpholino-5-oxopentanenitrile (5)



5

According to **General Procedure C** with 2-(4-methoxyphenyl)-1-morpholinoprop-2-en-1-one **1b** (49.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **5** as a white solid (82.5 mg, 80% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.10 min, t_R (major) = 11.91 min.

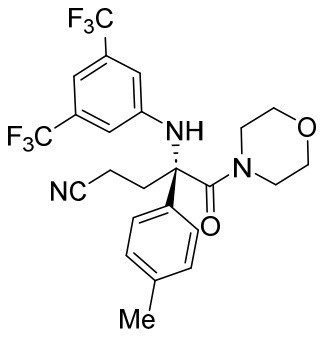
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (d, *J* = 8.2 Hz, 2H), 7.13 (s, 1H), 6.97 – 6.92 (m, 4H), 6.28 (brs, 1H), 3.82 (s, 3H), 3.73 – 2.83 (m, 9H), 2.64 – 2.57 (m, 1H), 2.50 – 2.42 (m, 1H), 2.22 – 2.13 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 159.7, 144.4, 132.4 (q, *J* = 32.5 Hz), 130.0, 127.1, 123.3 (q, *J* = 271.1 Hz), 119.1, 114.9, 113.7, 111.1, 66.1, 64.5, 55.4, 46.4, 29.7, 12.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_6N_3O_3$ $[M + H]^+$ 516.1716, found 516.1714.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(p-tolyl)pentanenitrile (6)



6

According to **General Procedure C** with 1-morpholino-2-(p-tolyl)prop-2-en-1-one **1c** (46.3 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h,

the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **6** as a colorless oil (76.3 mg, 76% yield, 93% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.20 min, t_R (major) = 15.65 min.

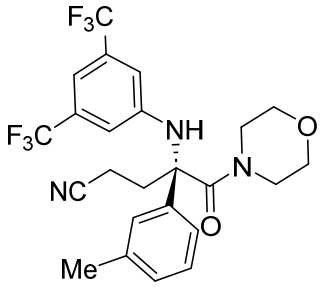
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.24 (m, 4H), 7.14 (s, 1H), 6.95 (s, 2H), 6.20 (brs, 1H), 4.00 – 2.72 (m, 9H), 2.66 – 2.58 (m, 1H), 2.46 – 2.36 (m, 1H), 2.36 (s, 3H), 2.20 – 2.11 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 144.4, 139.0, 135.1, 132.4 (q, *J* = 32.6 Hz), 130.3, 125.5, 123.2 (q, *J* = 271.2 Hz), 119.1, 113.6 (q, *J* = 3.1 Hz), 111.2, 65.9, 64.8, 46.9, 29.8, 21.0, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.28.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_6N_3O_2$ $[M + H]^+$ 500.1767, found 500.1762.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(p-tolyl)pentanenitrile (7)



7

According to **General Procedure C** with 1-morpholino-2-(p-tolyl)prop-2-en-1-one **1d** (46.3 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **7** as a colorless oil (62.9 mg, 63% yield, 94% ee).

HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 17.07 min, t_R (major) = 21.30 min.

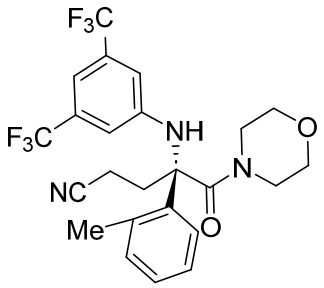
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 (t, *J* = 7.7 Hz, 1H), 7.20 – 7.14 (m, 4H), 6.98 (s, 2H), 6.19 (brs, 1H), 3.90 – 2.91 (m, 9H), 2.66 – 2.58 (m, 2H), 2.47 – 2.38 (m, 4H), 2.19 – 2.11 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 144.4, 139.7, 138.1, 132.3 (q, *J* = 32.6 Hz), 129.7, 129.4, 126.2, 122.6, 123.2 (q, *J* = 271.1 Hz), 119.1, 113.7 (q, *J* = 3.4 Hz), 111.2, 65.9, 64.9, 46.6, 44.2, 29.6, 21.5, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.28.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_6N_3O_2$ $[M + H]^+$ 500.1767, found 500.1762.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(p-tolyl)pentanenitrile (8)



8

According to **General Procedure C** with 1-morpholino-2-(p-tolyl)prop-2-en-1-one **1e** (46.3 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **8** as a colorless oil (39.0 mg, 39% yield, 94% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 14.24 min, t_R (major) = 18.88 min.

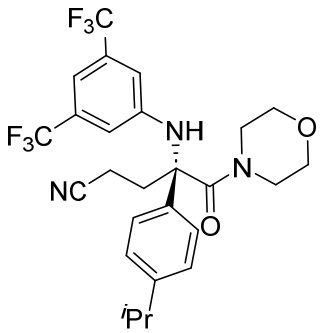
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, *J* = 7.9 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 1H), 7.30 – 7.25 (m, 2H), 7.14 (d, *J* = 7.5 Hz, 1H), 7.02 (s, 1H), 6.77 (s, 3H), 4.04 (s, 1H), 3.78 (s, 1H), 3.53 – 3.21 (m, 5H), 3.13 – 3.08 (m, 1H), 2.80 (s, 1H), 2.62 – 2.54 (m, 2H), 2.39 – 2.33 (m, 1H), 2.17 (s, 3H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 144.0, 137.5, 136.2, 133.7, 132.1 (q, *J* = 32.5 Hz), 129.2, 126.8, 126.7, 123.2 (q, *J* = 271.1 Hz), 119.0, 113.5, 110.5, 66.8, 65.5, 63.9, 47.3, 44.6, 29.3, 20.2, 12.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -63.36.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_6N_3O_2$ $[M+H]^+$ 500.1767, found 500.1761.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(4-isopropylphenyl)-5-morpholino-5-oxopentanenitrile (9)



9

According to **General Procedure C** with 2-(4-isopropylphenyl)-1-morpholinoprop-2-en-1-one **1f** (51.8 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv)

for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **9** as a colorless oil (83.8 mg, 79% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.44, t_R (major) = 15.28 min.

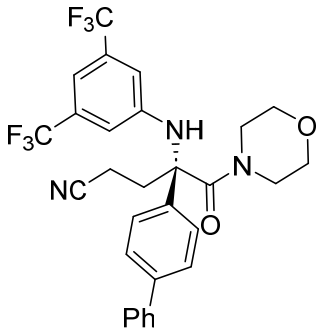
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 (s, 4H), 7.12 (s, 1H), 6.91 (s, 2H), 6.26 (brs, 1H), 3.99 – 2.83 (m, 10H), 2.67 – 2.59 (m, 1H), 2.49 – 2.42 (m, 1H), 2.21 – 2.13 (m, 1H), 1.23 (d, *J* = 6.9 Hz, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 149.8, 144.1, 135.1, 132.0 (q, *J* = 32.6 Hz), 127.3, 125.4, 122.9 (q, *J* = 271.1 Hz), 118.8, 113.3 (q, *J* = 3.0 Hz), 110.7, 65.6, 64.4, 46.5, 33.4, 29.4, 23.5, 23.3, 12.1.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.30.

HRMS (ESI) m/z calcd. for $C_{26}H_{28}F_6N_3O_2$ $[M + H]^+$ 528.2080, found 528.2077.

(*R*)-4-([1,1'-Biphenyl]-4-yl)-4-((3,5-bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxopentanenitrile (10)



10

According to **General Procedure C** with 2-([1,1'-biphenyl]-4-yl)-1-morpholinoprop-2-en-1-one **1g** (58.6 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **10** as a white solid (90.6 mg, 81% yield, 94% ee).

HPLC analysis: Chiralcel IG (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 18.92 min, t_R (minor) = 27.39 min.

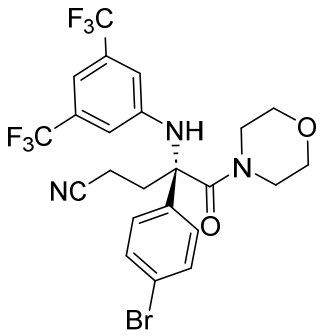
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.0 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.49 – 7.37 (m, 5H), 7.15 (s, 1H), 6.98 (s, 2H), 6.29 (brs, 1H), 3.99 – 2.90 (m, 9H), 2.69 (dt, *J* = 14.6, 7.7 Hz, 1H), 2.51 – 2.44 (m, 1H), 2.25 – 2.17 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 144.4, 141.8, 139.3, 137.0, 132.4 (q, *J* = 32.8 Hz), 128.9, 128.1, 128.0, 127.0, 126.1, 123.2 (q, *J* = 271.1Hz), 119.1, 113.7 (q, *J* = 3.0 Hz), 111.3, 65.9, 64.9, 45.8, 29.8, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.24.

HRMS (ESI) m/z calcd. for $C_{29}H_{26}F_6N_3O_2$ $[M + H]^+$ 562.1924, found 562.1918.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(4-bromophenyl)-5-morpholino-5-oxopentanenitrile (11)



11

According to **General Procedure C** with 2-(4-bromophenyl)-1-morpholinoprop-2-en-1-one **1h** (59.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **11** as a white solid (74.0 mg, 66% yield, 92% ee).

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 13.26 min, t_R (major) = 14.18 min.

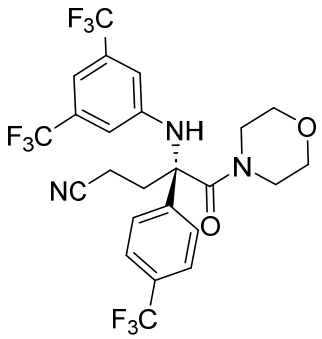
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.1 Hz, 2H), 7.16 (s, 1H), 6.92 (s, 2H), 6.30 (brs, 1H), 4.09 – 2.75 (m, 9H), 2.67 – 2.60 (m, 1H), 2.48 – 2.40 (m, 1H), 2.23 – 2.15 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.6, 144.1, 137.5, 132.8, 132.5 (q, *J* = 32.7 Hz), 127.5, 123.2 (q, *J* = 271.1 Hz), 123.1, 118.8, 113.7 (q, *J* = 3.3 Hz), 111.5, 66.0, 64.7, 45.5, 29.3, 12.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.29.

HRMS (ESI) m/z calcd. for $C_{23}H_{21}BrF_6N_3O_2$ $[M + H]^+$ 564.0716, found 564.0711.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(4-(trifluoromethyl)phenyl)pentanenitrile (12)



12

According to **General Procedure C** with 1-morpholino-2-(4-(trifluoromethyl)phenyl)prop-2-en-1-one **1i** (57.1 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile

3a (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **12** as a brown solid (60.0 mg, 54% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.92 min, t_R (major) = 9.07 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.16 (s, 1H), 6.91 (s, 2H), 6.39 (brs, 1H), 3.99 – 2.79 (m, 9H), 2.70 (dt, *J* = 14.6, 7.6 Hz, 1H), 2.51 – 2.43 (m, 1H), 2.26 – 2.18 (m, 1H).

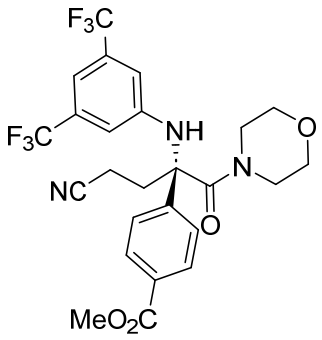
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.16 (s, 1H), 6.90 (s, 2H), 6.39 (brs, 1H), 3.95 – 2.86 (m, 9H), 2.70 (dt, *J* = 14.6, 7.6 Hz, 1H), 2.50 – 2.44 (m, 1H), 2.27 – 2.18 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 143.9, 142.6, 132.6 (q, *J* = 32.9 Hz), 131.3 (q, *J* = 33.0 Hz), 126.6, 126.5, 123.31 (q, *J* = 270.7 Hz), 123.10 (q, *J* = 271.1 Hz), 118.7, 113.7 (q, *J* = 3.1 Hz), 111.7, 65.8, 64.8, 45.3, 29.2, 12.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.92, -63.37.

HRMS (ESI) m/z calcd. for $C_{24}H_{21}F_9N_3O_2$ $[M + H]^+$ 554.1485, found 554.1483.

Methyl (*R*)-4-(2-((3,5-bis(trifluoromethyl)phenyl)amino)-4-cyano-1-morpholino-1-oxobutan-2-yl)benzoate (13)



13

According to **General Procedure C** with methyl 4-(3-morpholino-3-oxoprop-1-en-2-yl)benzoate **1j** (55.0 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 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 colorless oil (74.9 mg, 69% yield, 94% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.06 min, t_R (minor) = 12.71 min.

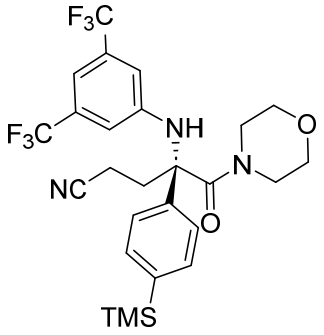
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 8.0 Hz, 2H), 7.53 (d, *J* = 7.9 Hz, 2H), 7.15 (s, 1H), 6.93 (s, 2H), 6.40 (brs, 1H), 3.94 (s, 3H), 3.89 – 2.79 (m, 6H), 2.74 – 2.66 (m, 1H), 2.50 – 2.42 (m, 1H), 2.25 – 2.17 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 165.8, 144.0, 143.3, 132.5 (q, *J* = 32.7Hz), 130.7 (d), 126.0, 123.1 (q, *J* = 271.2 Hz), 118.8, 113.7 (q, *J* = 3.0 Hz), 111.6, 65.8, 64.9, 44.0, 52.5, 29.1, 12.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.30.

HRMS (ESI) m/z calcd. for $C_{25}H_{24}F_6N_3O_4$ $[M + H]^+$ 544.1666, found 544.1665.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(4-(trimethylsilyl)phenyl)pentanenitrile (14)



14

According to **General Procedure C** with 2-(4-methoxyphenyl)-1-morpholinoprop-2-en-1-one **1k** (49.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **14** as a colorless oil (82.5 mg, 80% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 8.48 min, t_R (major) = 11.41 min.

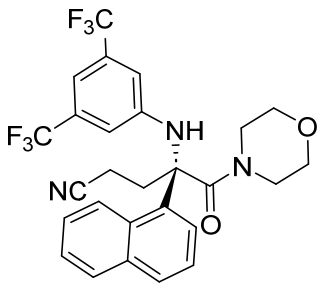
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.58 (d, *J* = 8.1 Hz, 2H), 7.36 (d, *J* = 6.9 Hz, 2H), 7.13 (s, 1H), 6.92 (s, 2H), 6.23 (brs, 1H), 3.82 – 2.87 (m, 9H), 2.67 – 2.62 (m, 1H), 2.47 – 2.42 (m, 1H), 2.18 – 2.14 (m, 1H), 0.26 (s, 9H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 169.1, 144.3, 142.3, 138.5, 134.5, 132.4 (q, *J* = 32.7 Hz), 124.9, 123.2 (q, *J* = 271.1 Hz), 119.1, 113.7 (q, *J* = 3.4 Hz), 111.2, 66.0, 65.0, 46.9, 44.3, 29.8, 12.4, -1.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -63.31.

HRMS (ESI) m/z calcd. for $C_{26}H_{30}F_6N_3O_2Si$ $[M + H]^+$ 558.2006, found 558.2000.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-4-(naphthalen-**1-yl)-5-oxopentanenitrile (15)**



15

According to **General Procedure C** with 1-morpholino-2-(naphthalen-1-yl)prop-2-en-1-one **1l** (53.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg,

0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **15** as a white solid (66.0 mg, 62% 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) = 9.45 min, t_R (minor) = 12.16 min.

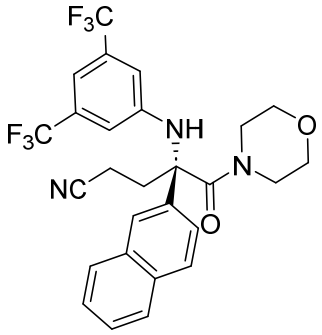
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 – 8.05 (m, 1H), 7.93 – 7.83 (m, 3H), 7.57 (t, *J* = 7.8 Hz, 1H), 7.49 – 7.47 (m, 2H), 7.04 (s, 1H), 6.94 (s, 1H), 6.86 (s, 2H), 4.07 (d, *J* = 11.4 Hz, 1H), 3.64 (d, *J* = 11.6 Hz, 1H), 3.38 – 3.30 (m, 2H), 3.17 – 3.01 (m, 4H), 2.71 – 2.61 (m, 2H), 2.50 – 2.42 (m, 1H), 1.99 (brs, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 144.4, 134.6, 131.9 (q, *J* = 32.7 Hz), 130.8, 130.6, 129.5, 127.3, 126.7, 125.5, 124.4, 123.7, 123.2 (q, *J* = 271.0 Hz), 119.1, 113.9, 110.7, 66.5, 64.9, 64.0, 47.1, 44.8, 29.5, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.36.

HRMS (ESI) m/z calcd. for $C_{27}H_{24}F_6N_3O_2$ $[M + H]^+$ 536.1767, found 536.1765.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-4-(naphthalen-**2-yl)-5-oxopentanenitrile (16)**



16

According to **General Procedure C** with 1-morpholino-2-(naphthalen-2-yl)prop-2-en-1-one **1m** (53.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **16** as a white solid (93.4 mg, 87% yield, 93% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 8.57 min, t_R (major) = 9.87 min.

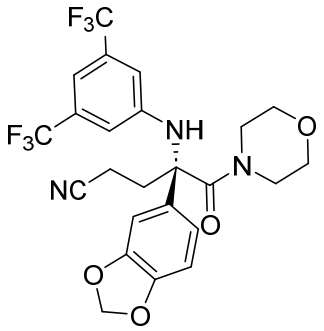
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 – 7.90 (m, 2H), 7.88 – 7.84 (m, 2H), 7.59 – 7.55 (m, 2H), 7.42 (d, *J* = 7.9 Hz, 1H), 7.13 (s, 1H), 7.04 (s, 2H), 6.32 (brs, 1H), 3.92 – 2.72 (m, 10H), 2.49 – 2.40 (m, 1H), 2.26 – 2.12 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 169.1, 144.4, 135.3, 132.8, 132.8, 132.4 (q, *J* = 32.8 Hz), 130.1, 128.0, 127.7, 127.44, 127.38, 124.5, 123.2 (q, *J* = 271.3 Hz), 122.9, 119.1, 113.7 (q, *J* = 3.2 Hz), 111.4, 65.9, 65.2, 46.9, 44.2, 29.6, 12.4.

^{19}F NMR (565MHz, $CDCl_3$) δ -63.27.

HRMS (ESI) m/z calcd. for $C_{27}H_{24}F_6N_3O_2$ $[M + H]^+$ 536.1767, found 536.1765.

(*R*)-4-(Benzo[d][1,3]dioxol-5-yl)-4-((3,5-bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxopentanenitrile (17)



17

According to **General Procedure C** with 2-(benzo[d][1,3]dioxol-5-yl)-1-morpholinoprop-2-en-1-one **1n** (52.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 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 white solid (90.6 mg, 81% yield, 92% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 15.38 min, t_R (major) = 16.92 min.

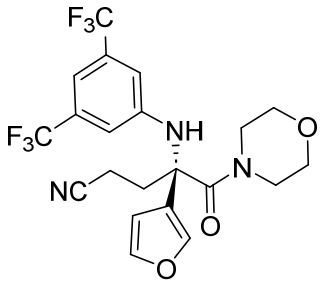
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.14 (s, 1H), 6.95 – 6.83 (m, 5H), 6.29 (brs, 1H), 6.01 (s, 2H), 3.82 – 2.75 (m, 9H), 2.61 – 2.54 (m, 1H), 2.49 – 2.42 (m, 1H), 2.24 – 2.15 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 149.2, 148.0, 144.3, 132.4 (q, J = 32.7 Hz), 132.1, 123.2 (q, J = 271.1 Hz), 119.0, 113.7 (q, J = 3.0 Hz), 111.2, 108.5, 106.4, 101.8, 66.0, 64.7, 46.0, 29.7, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.27.

HRMS (ESI) m/z calcd. for $C_{24}H_{22}F_6N_3O_4$ $[M + H]^+$ 530.1509, found 530.1505.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(furan-3-yl)-5-morpholino-5-oxopentanenitrile (18)



18

According to **General Procedure C** with 2-(furan-3-yl)-1-morpholinoprop-2-en-1-one **1o** (41.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h,

the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **18** as a colorless oil (68.5 mg, 72% yield, 90% ee).

HPLC analysis: Chiralcel ADH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 6.01 min, t_R (major) = 8.23 min.

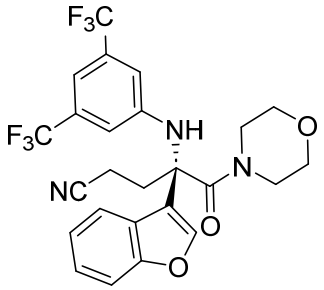
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.55 (d, *J* = 21.7 Hz, 2H), 7.23 (s, 1H), 7.02 (s, 2H), 6.39 (s, 1H), 5.65 (brs, 1H), 3.85 – 3.08 (m, 8H), 2.82 – 2.80 (m, 1H), 2.59 – 2.57 (m, 1H), 2.44 – 2.42 (m, 1H), 2.22 – 2.18 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 168.4, 145.2, 144.4, 139.5, 132.6 (q, *J* = 32.8 Hz), 124.8, 123.2 (q, *J* = 271.1 Hz)，119.1, 113.8 (q, *J* = 3.0 Hz), 111.8, 108.1, 66.1, 60.9, 46.8, 44.2, 30.7, 12.2.

^{19}F NMR (565 MHz, $CDCl_3$) δ -63.26.

HRMS (ESI) m/z calcd. for $C_{21}H_{20}F_6N_3O_3$ $[M + H]^+$ 476.1403, found 476.1399.

(*R*)-4-(Benzofuran-3-yl)-4-((3,5-bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxopentanenitrile (19)



19

According to **General Procedure C** with 2-(benzofuran-3-yl)-1-morpholinoprop-2-en-1-one **1p** (51.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **19** as a brown solid (67.5 mg, 64% yield, 90% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.00 min. t_R (minor) = 13.10 min,

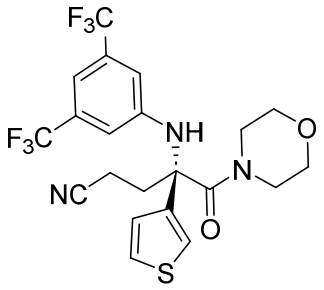
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (s, 1H), 7.54 – 7.50 (m, 2H), 7.37 (t, *J* = 7.7 Hz, 1H), 7.28 – 7.24 (m, 1H), 7.16 (s, 1H), 7.07 (s, 2H), 6.26 (brs, 1H), 4.00 – 3.01 (m, 9H), 2.73 (dt, *J* = 14.7, 7.6 Hz, 1H), 2.56 – 2.48 (m, 1H), 2.30 – 2.22 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 155.8, 144.5, 142.0, 132.4 (q, *J* = 33.4 Hz), 126.1, 123.9, 123.2 (q, *J* = 271.2 Hz), 120.0, 119.5, 118.9, 114.1, 112.3, 111.8, 66.1, 60.7, 45.2, 29.5, 12.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.28.

HRMS (ESI) m/z calcd. for $C_{25}H_{22}F_6N_3O_3$ $[M + H]^+$ 526.1560, found 526.1556.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-(thiophen-**3-yl)pentanenitrile (20)**



20

According to **General Procedure C** with 1-morpholino-2-(thiophen-3-yl)prop-2-en-1-one **1q** (44.6 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **20** as a brown oil (90.6 mg, 92% yield, 92% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 10.47 min, t_R (major) = 11.89 min.

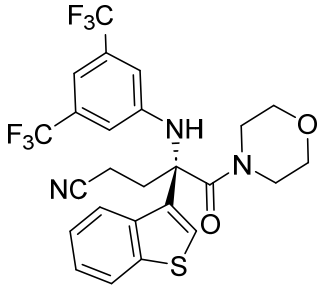
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (dd, *J* = 5.1, 2.8 Hz, 1H), 7.37 – 7.36 (m, 1H), 7.18 (s, 1H), 7.03 (d, *J* = 4.0 Hz, 1H), 6.96 (s, 2H), 6.08 (brs, 1H), 4.03 – 3.08 (m, 8H), 2.96 – 2.89 (m, 1H)， 2.70 – 2.62 (m, 1H), 2.45 – 2.37 (m, 1H), 2.22 – 2.14 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 144.4, 139.9, 132.4 (q, *J* = 32.7 Hz), 128.8, 125.4, 123.2 (q, *J* = 271.1 Hz), 121.9, 119.0, 113.7 (q, *J* = 3.1Hz), 111.5, 66.1, 63.2, 45.5, 30.5, 12.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.25.

HRMS (ESI) m/z calcd. for $C_{21}H_{20}F_6N_3O_2S$ $[M + H]^+$ 492.1175, found 492.1172.

(*R*)-4-(Benzo[b]thiophen-**3-yl)-4-((3,5-bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxopentanenitrile (21)**



21

According to **General Procedure C** with 2-(benzo[*b*]thiophen-3-yl)-1-morpholinoprop-2-en-1-one **1r** (54.6 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by

column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **21** as a dark brown oil (64.8 mg, 60% yield, 90% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.32 min, t_R (major) = 8.63 min.

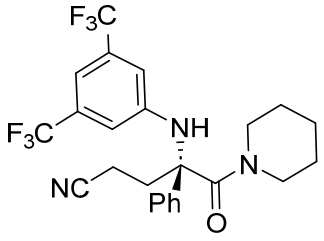
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.5 Hz, 1H), 7.72 – 7.66 (m, 2H), 7.37 – 7.34 (m, 2H), 7.01 – 6.74 (m, 4H), 4.07 – 3.24 (m, 8H), 2.73 – 2.27 (m, 4H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 167.7, 144.5, 140.7, 135.9, 134.1, 132.1 (q, *J* = 33.0 Hz), 125.6, 125.1, 124.9, 123.3, 123.2 (q, *J* = 271.1 Hz), 122.4, 118.8, 114.0, 111.1, 66.6, 65.3, 62.0, 47.3, 44.9, 29.4, 12.1.

^{19}F NMR (565 MHz, $CDCl_3$) δ -63.29.

HRMS (ESI) m/z calcd. for $C_{25}H_{22}F_6N_3O_2S$ $[M + H]^+$ 542.1331, found 542.1325.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-oxo-4-phenyl-5-(piperidi*n*-1-yl)pentanenitrile (22)



22

According to **General Procedure C** with 2-phenyl-1-(piperidi*n*-1-yl)prop-2-en-1-one **1s** (43.0 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **22** as a colorless oil (60.0 mg, 62% yield, 95% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 17.95 min, t_R (major) = 20.00 min.

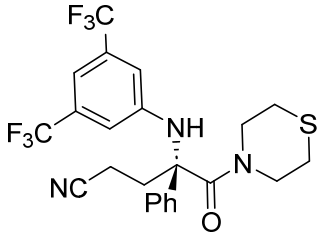
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.31 (m, 5H), 7.07 (s, 1H), 6.87 (s, 2H), 6.61 (brs, 1H), 4.00 – 2.93 (m, 5H), 2.73 – 2.65 (m, 1H), 2.52 – 2.44 (m, 1H), 2.24 – 2.16 (m, 1H), 1.53 – 0.57 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 144.5, 138.9, 132.2 (q, *J* = 32.6 Hz), 129.4, 128.6, 126.2, 123.3 (q, *J* = 271.0 Hz), 119.2, 113.6 (q, *J* = 3.1 Hz), 110.7, 64.8, 45.7, 29.0, 25.2, 24.0, 12.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.32.

HRMS (ESI) m/z calcd. for $C_{24}H_{24}F_6N_3O$ $[M + H]^+$ 484.1818, found 484.1813.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-oxo-4-phenyl-5-thiomorpholinopentanenitrile (23)



23

According to **General Procedure C** with 2-phenyl-1-thiomorpholinoprop-2-en-1-one **1t** (46.6 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **23** as a light brown oil (80.4 mg, 80% yield, 93% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 23.00 min, t_R (major) = 26.66 min.

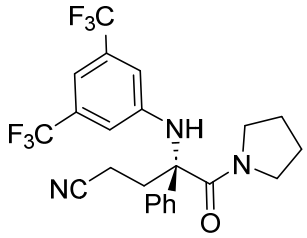
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.36 (m, 5H), 7.13 (s, 1H), 6.91 (s, 2H), 6.35 (brs, 1H), 4.42 – 3.29 (m, 4H), 2.99 – 2.91 (m, 1H), 2.73 – 2.65 (m, 1H), 2.62 – 1.69 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 144.2, 138.2, 132.4 (q, J = 32.7 Hz), 129.7, 129.0, 125.8, 123.2 (q, J = 271.1 Hz), 119.0, 113.6 (q, J = 3.0 Hz), 111.2, 65.0, 48.2, 29.3, 26.5, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.29.

HRMS (ESI) m/z calcd. for $C_{23}H_{22}F_6N_3OS$ $[M + H]^+$ 502.1382, found 502.1379.

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-oxo-4-phenyl-5-(pyrrolidi*n*-1-yl)pentanenitrile (24)



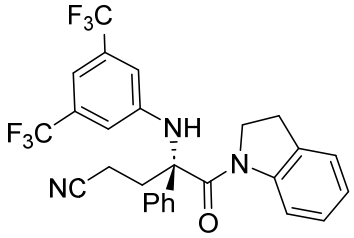
24

According to **General Procedure C** with 2-phenyl-1-(pyrrolidi*n*-1-yl)prop-2-en-1-one **1u** (40.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline 2a (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **24** as a colorless oil (66.4 mg, 71% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.26 min, t_R (major) = 14.24 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.40 (m, 4H), 7.37 – 7.32 (m, 1H), 7.06 (s, 1H), 6.83 (s, 2H), 6.63 (brs, 1H), 3.59 – 3.56 (m, 2H), 3.16 – 3.10 (m, 1H), 2.98 – 2.90 (m, 1H), 2.80 – 2.72 (m, 1H), 2.60 – 2.45 (m, 2H), 2.31 – 2.23 (m, 1H), 1.82 – 1.56 (m, 4H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 144.4, 138.0, 132.1 (q, J = 32.5 Hz), 129.3, 128.7, 126.62, 123.3 (q, J = 271.1Hz), 119.1, 113.4 (q, J = 3.5 Hz), 110.6, 64.6, 48.7, 47.1, 27.3, 26.6, 22.8, 12.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.33.
HRMS (ESI) m/z calcd. for $C_{23}H_{22}F_6N_3O$ $[M + H]^+$ 470.1662, found 470.1656.

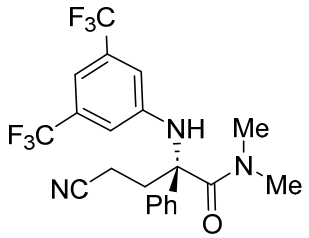
(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-(indoli*n*-1-yl)-5-oxo-4-phenylpentanenitrile (25)



25

According to **General Procedure C** with 1-(indoli*n*-1-yl)-2-phenylprop-2-en-1-one **1v** (49.8 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **25** as a light brown oil (76.2 mg, 74% yield, 92% ee).
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.86 min, t_R (major) = 10.64 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, J = 8.2 Hz, 1H), 7.50 – 7.39 (m, 5H), 7.28 – 7.24 (m, 2H), 7.13 (brs, 1H), 7.09 – 7.06 (m, 1H), 7.01 (s, 2H), 6.17 (brs, 1H), 3.72 – 3.66 (m, 1H), 3.41 – 3.30 (m, 1H), 3.04 – 2.75 (m, 4H), 2.51 – 2.43 (m, 1H), 2.21 – 2.13 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 144.2, 143.1, 137.5, 132.5 (q, J = 32.8 Hz), 131.0, 129.7, 129.1, 127.6, 126.0, 125.1, 124.6, 123.2 (q, J = 271.0 Hz), 119.0, 118.3, 113.6 (q, J = 3.0 Hz), 111.4, 66.0, 48.7, 29.3, 28.8, 12.6.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.25.
HRMS (ESI) m/z calcd. for $C_{27}H_{22}F_6N_3O$ $[M + H]^+$ 518.1662, found 518.1659.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-cyano-*N*,*N*-dimethyl-2-phenylbutanamide (26)



26

According to **General Procedure C** with *N*,*N*-dimethyl-2-phenylacrylamide **1w** (35.0 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline 2a (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **26** as a colorless oil (66.7 mg, 75% yield, 94% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 17.73 min, t_R (major) =20.47 min.

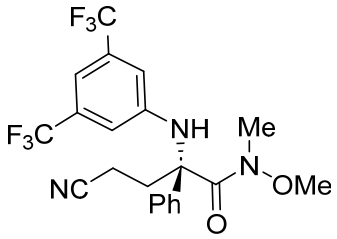
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.33 (m, 5H), 7.09 (s, 1H), 6.89 (s, 2H), 6.49 (brs, 1H), 2.99 – 2.67 (m, 8H), 2.51 – 2.43 (m, 1H), 2.25 – 2.16 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 144.4, 138.4, 132.2 (q, *J* = 32.6 Hz), 129.5, 128.7, 126.0, 123.2 (q, *J* = 271.0 Hz), 119.1, 113.5 (q, *J* = 3.1 Hz), 110.8, 64.8, 38.4, 37.9, 28.9, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.30.

HRMS (ESI) m/z calcd. for $C_{21}H_{20}F_6N_3O$ $[M + H]^+$ 444.1505, found 444.1501.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-cyano-*N*-methoxy-*N*-methyl-2-phenylbutanamide (27)



27

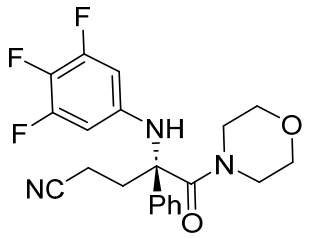
According to **General Procedure C** with *N*-methoxy-*N*-methyl-2-phenylacrylamide **1x** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (91.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **27** as a white solid (60.3 mg, 66% yield, 76% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = **254 nm),** t_R (major) = 14.58 min, t_R (minor) = 19.44 min,

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.39 (m, 4H), 7.33 – 7.29 (m, 1H), 7.02 (s, 1H), 6.74 (s, 2H), 6.64 (brs, 1H), 3.29 – 3.21 (m, 4H), 2.89 – 2.82 (m, 1H), 2.61 (s, 3H), 2.50 – 2.42 (m, 1H), 2.32 – 2.24 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.5, 144.0, 139.0, 132.0 (q, *J* = 32.6 Hz), 129.1, 128.3, 123.2 (q, *J* = 271.0 Hz), 126.9, 119.1, 113.5 (q, *J* = 3.0 Hz), 110.50 – 110.34 (m), 64.4, 59.5, 33.8, 26.0, 12.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.38.
HRMS (ESI) m/z calcd. for $C_{21}H_{20}F_6N_3O_2$ $[M + H]^+$ 460.1454, found 460.1452.

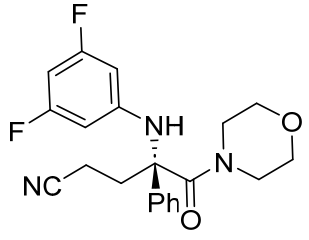
(*R*)-5-Morpholino-5-oxo-4-phenyl-4-((3,4,5-trifluorophenyl)amino)pentanenitrile (28)



28

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3,4,5-trifluoroaniline **2b** (58.8 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **28** as a white solid (55.0 mg, 68% yield, 90% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 18.70 min, t_R (major) = 21.62 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.44 (m, 2H), 7.40 – 7.36 (m, 3H), 6.21 (dp, *J* = 9.8, 4.4 Hz, 2H), 5.60 (brs, 1H), 3.87 – 2.95 (m, 8H), 2.91 – 2.84 (m, 1H), 2.68 – 2.60 (m, 1H), 2.38 – 2.30 (m, 1H), 2.12 – 2.04 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 153.00 – 152.84 (m), 153.55 – 152.39 (m), 139.36 (td, *J* = 11.3, 2.8 Hz), 138.7, 134.2, 131.8, 129.6, 128.9, 125.4, 119.1, 98.60 – 98.34 (m), 66.0, 65.2, 45.3, 30.0, 12.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ -133.83 (d, *J* = 21.4 Hz), -173.93.
HRMS (ESI) m/z calcd. for $C_{21}H_{21}F_3N_3O_2$ $[M + H]^+$ 404.1580, found 404.1578.

(*R*)-4-((3,5-Difluorophenyl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (29)

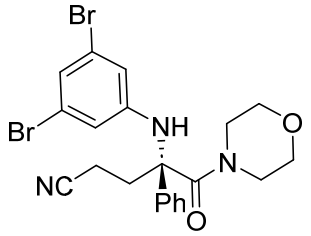


29

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3,5-difluoroaniline **2c** (51.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture

was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **29** as a white solid (57.1 mg, 74% yield, 88% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.50 min, t_R (major) = 13.16 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.37 (m, 5H), 6.19 – 6.13 (m, 3H), 5.70 (brs, 1H), 4.16 – 2.73 (m, 9H), 2.69 – 2.62 (m, 1H), 2.37 – 2.29 (m, 1H), 2.13 – 2.02 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 165.1 (d, *J* = 15.8 Hz), 162.6 (d, *J* = 15.9 Hz), 145.6 (t, *J* = 13.3 Hz), 138.8, 129.7, 128.9, 125.3, 119.3, 97.5 – 97.2 (m), 93.8 (t, *J* = 26.0 Hz), 66.1, 65.1, 45.9, 30.4, 12.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -109.21.
HRMS (ESI) m/z calcd. for $C_{21}H_{22}F_2N_3O_2$ $[M + H]^+$ 386.1675, found 386.1670.

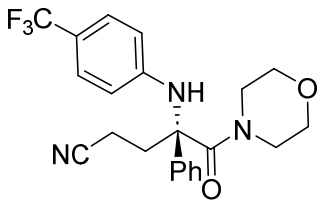
(*R*)-4-((3,5-Dibromophenyl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (30)



30

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3,5-dibromoaniline **2d** (100.4 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **30** as a white solid (98.4 mg, 97% yield, 90% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 22.70 min, t_R (major) = 25.02 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.36 (m, 5H), 6.98 (s, 1H), 6.68 (s, 2H), 5.70 (brs, 1H), 3.81 – 2.82 (m, 9H), 2.66 – 2.58 (m, 1H), 2.39 – 2.32 (m, 1H), 2.14 – 2.05 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 145.5, 138.6, 129.6, 128.9, 125.4, 123.8, 123.4, 119.1, 115.9, 66.0, 65.0, 45.3, 30.3, 12.3.
HRMS (ESI) m/z calcd. for $C_{21}H_{22}Br_2N_3O_2$ $[M + H]^+$ 506.0073, found 506.0074.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-((4-(trifluoromethyl)phenyl)amino)pentanenitrile (31)



31

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-(trifluoromethyl)aniline **2e** (64.5 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **31** as a white solid (55.4 mg, 66% yield, 86% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 93/07, flow rate 0.8 mL/min, λ = 254 nm), t_R (minor) = 41.19 min, t_R (major) = 47.45 min.

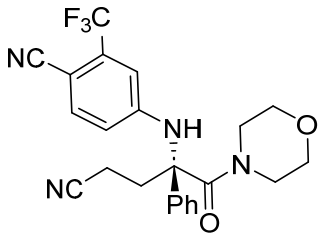
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.35 (m, 7H), 6.68 (d, *J* = 8.4 Hz, 2H), 5.67 (brs, 1H), 3.86 – 2.77 (m, 9H), 2.73 – 2.66 (m, 1H), 2.34 – 2.26 (m, 1H), 2.10 – 2.01 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 146.2, 138.7, 129.6, 128.8, 126.7 (q, *J* = 3.8 Hz), 125.2, 124.6 (q, *J* = 268.8 Hz), 120.3 (q, *J* = 32.4 Hz), 119.2, 113.7, 66.0, 65.1, 45.5, 30.7, 12.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -61.36.

HRMS (ESI) m/z calcd. for $C_{22}H_{23}F_3N_3O_2$ $[M + H]^+$ 418.1737, found 418.1739.

(R)-4-((4-Cyano-1-morpholino-1-oxo-2-phenylbut*an*-2-yl)amino)-2-(trifluoromethyl)benzonitrile (32)



32

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-amino-2-(trifluoromethyl)benzonitrile **2f** (82.4 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **32** as a colorless oil (73.0 mg, 83% yield, 89% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.41 min, t_R (major) = 12.84 min.

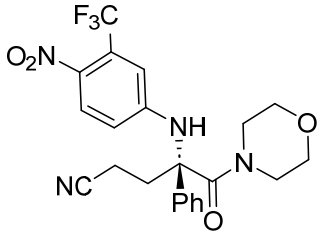
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.38 (m, 6H), 6.91 (brs, 1H), 6.68 – 6.66 (m, 1H), 3.88 – 2.76 (m, 9H), 2.68 (dt, *J* = 14.5, 7.6 Hz, 1H), 2.48 – 2.39 (m, 1H), 2.25 – 2.19 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 146.87 – 146.79 (m), 138.10 – 137.97 (m), 136.0, 133.9 (q, *J* = 32.4 Hz), 129.7, 129.2, 125.8, 122.2 (q, *J* = 272.5 Hz), 118.80 – 118.77 (m), 116.66 – 116.61 (m), 115.3, 112.2, 96.7, 65.9, 64.7, 45.9, 28.6, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.58 (d, *J* = 4.4 Hz).

HRMS (ESI) m/z calcd. for $C_{23}H_{22}F_3N_4O_2$ $[M + H]^+$ 443.1689, found 443.1687.

(*R*)-5-Morpholino-4-((4-nitro-3-(trifluoromethyl)phenyl)amino)-5-oxo-4-phenylpentanenitrile (33)



33

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-nitro-3-(trifluoromethyl)aniline **2g** (82.4 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **33** as a pale yellow solid (60.0 mg, 65% yield, 86% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 230 nm), t_R (minor) = 12.58 min, t_R (major) = 14.54 min.

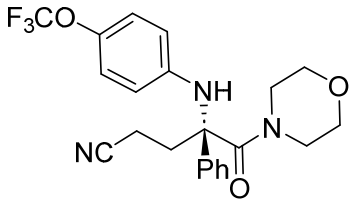
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 9.0 Hz, 1H), 7.49 – 7.38 (m, 5H), 7.12 (s, 1H), 6.92 (s, 1H), 6.61 (d, *J* = 9.2 Hz, 1H), 3.92 – 2.89 (m, 9H), 2.73 – 2.66 (m, 1H), 2.51 – 2.43 (m, 1H), 2.29 – 2.21 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.5, 147.5, 138.0, 137.3, 129.8, 129.3, 128.7, 126.4, 126.1 – 125.8 (m), 121.9 (q, *J* = 271.9 Hz), 118.6, 114.3, 113.3 – 113.1 (m), 65.8, 64.7, 45.6, 28.4, 12.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.29.

HRMS (ESI) m/z calcd. for $C_{22}H_{22}F_3N_4O_4$ $[M + H]^+$ 463.1588, found 463.1585.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-((4-(trifluoromethoxy)phenyl)amino)pentanenitrile (34)



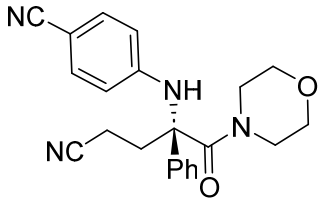
34

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-(trifluoromethoxy)aniline **2h** (70.9 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **34** as a white solid (55.2 mg, 64% yield, 70% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.55 min, t_R (minor) = 22.53 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.45 (m, 2H), 7.40 – 7.36 (m, 1H), 7.00 (d, *J* = 8.6 Hz, 2H), 6.66 – 6.62 (m, 2H), 5.22 (brs, 1H), 3.70 – 2.90 (m, 8H), 2.88 – 2.81 (m, 1H), 2.73 – 2.65 (m, 1H), 2.29 – 2.21 (m, 1H), 2.08 – 1.99 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.0, 142.3, 141.5 (q, *J* = 1.9 Hz), 139.0, 129.5, 128.7, 125.0, 122.5, 120.5 (q, *J* = 254.2 Hz), 119.4, 115.2, 66.0, 65.4, 45.0, 31.2, 12.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ -58.36.
HRMS (ESI) m/z calcd. for $C_{22}H_{23}F_3N_3O_3$ $[M + H]^+$ 434.1686, found 434.1683.

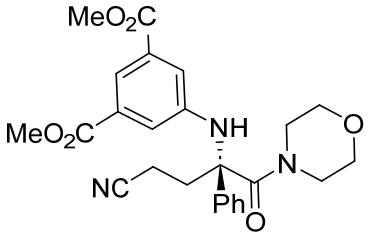
(*R*)-4-((4-Cyano-1-morpholino-1-oxo-2-phenylbut*an*-2-yl)amino)benzonitrile (35)



35

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-aminobenzonitrile **2i** (47.2 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **35** as a colorless oil (65.7 mg, 88% yield, 88% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 10.60 min, t_R (minor) = 11.85 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.34 (m, 7H), 6.63 (d, *J* = 8.4 Hz, 2H), 6.14 (s, 1H), 3.72 – 2.90 (m, 9H), 2.71 – 2.64 (m, 1H), 2.38 – 2.31 (m, 1H), 2.15 – 2.04 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.2, 147.0, 138.4, 133.6, 129.6, 128.9, 125.4, 119.8, 119.0, 114.0, 100.3, 65.9, 65.0, 45.8, 30.1, 12.3.
HRMS (ESI) m/z calcd. for $C_{22}H_{23}N_4O_2$ $[M + H]^+$ 375.1816, found 375.1815.

Dimethyl (*R*)-5-((4-cyano-1-morpholino-1-oxo-2-phenylbut*an*-2-yl)amino)isophthalate (36)



36

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), dimethyl 5-aminoisophthalate **2j** (83.7 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum

ether/EtOAc = 5/1) to yield the product **36** as a white solid (50.0 mg, 54% yield, 86% ee).

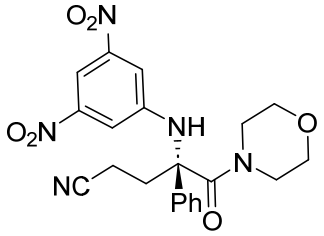
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 21.53 min, t_R (major) = 27.68 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.49 – 7.42 (m, 6H), 7.38 – 7.34 (m, 1H), 5.89 (brs, 1H), 3.90 (s, 6H), 3.75 – 2.76 (m, 9H), 2.71 – 2.64 (m, 1H), 2.41 – 2.33 (m, 1H), 2.18 – 2.10 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 166.3, 143.8, 138.8, 131.5, 129.5, 128.7, 125.6, 120.5, 119.4, 119.2, 66.0, 65.2, 52.3, 30.3, 29.6, 12.3.

HRMS (ESI) m/z calcd. for $C_{25}H_{28}N_3O_6$ $[M + H]^+$ 466.1973, found 466.1972.

(*R*)-4-((3,5-Dinitrophenyl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (37)



37

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3,5-dinitroaniline **2k** (73.2 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **37** as a pale yellow solid (83.2 mg, 95% yield, 93% ee).

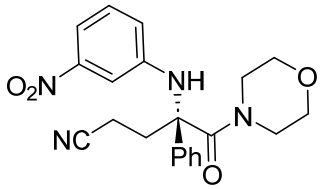
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.75 min, t_R (major) = 14.50 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.64 (s, 2H), 7.48 (brs, 4H), 7.40 – 7.36 (m, 1H), 7.16 (brs, 1H), 4.07 – 2.92 (m, 9H), 2.73 (dt, *J* = 14.5, 7.4 Hz, 1H), 2.56 – 2.48 (m, 1H), 2.37 – 2.29 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 149.1, 145.1, 137.8, 129.9, 129.3, 126.3, 118.7, 113.3, 106.9, 65.8, 64.8, 45.9, 27.9, 12.4.

HRMS (ESI) m/z calcd. for $C_{21}H_{22}N_5O_6$ $[M + H]^+$ 440.1565, found 440.1563.

(*R*)-5-Morpholino-4-((3-nitrophenyl)amino)-5-oxo-4-phenylpentanenitrile (38)

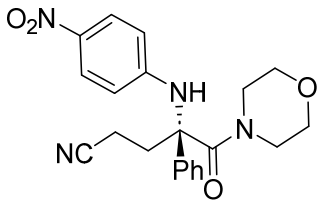


38

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 3-nitroaniline **2l** (55.3 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture

was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **38** as a pale yellow oil (64.7 mg, 82% yield, 90% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 12.14 min, t_R (major) = 22.02 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 – 7.36 (m, 7H), 7.24 (t, *J* = 8.2 Hz, 1H), 6.94 (dd, *J* = 8.2, 2.5 Hz, 1H), 6.02 (brs, 1H), 4.23 – 2.79 (m, 9H), 2.73 – 2.66 (m, 1H), 2.42 – 2.34 (m, 1H), 2.19 – 2.11 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 149.1, 144.4, 138.5, 130.0, 129.6, 128.9, 125.5, 120.2, 119.2, 113.0, 108.6, 66.0, 65.1, 46.1, 29.9, 12.3.
HRMS (ESI) m/z calcd. for $C_{21}H_{23}N_4O_4$ $[M + H]^+$ 395.1714, found 395.1710.

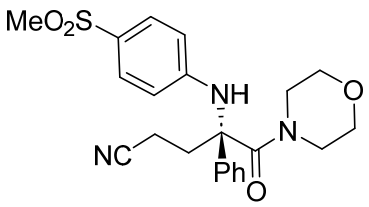
(*R*)-5-Morpholino-4-((4-nitrophenyl)amino)-5-oxo-4-phenylpentanenitrile (39)



39

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-nitroaniline **2m** (55.3 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **39** as a pale yellow solid (67.0mg, 85% yield, 86% ee).
HPLC analysis: Chiralcel ID (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.92 min, t_R (minor) = 23.90 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 9.1 Hz, 2H), 7.49 – 7.37 (m, 5H), 6.59 (d, *J* = 8.9 Hz, 3H), 3.87 – 2.78 (m, 9H), 2.74 – 2.66 (m, 1H), 2.44 – 2.36 (m, 1H), 2.20 – 2.12 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 149.0, 138.9, 138.4, 129.7, 129.0, 126.1, 125.6, 118.9, 113.0, 65.9, 65.0, 46.1, 29.6, 12.3.
HRMS (ESI) m/z calcd. for $C_{21}H_{23}N_4O_4$ $[M + H]^+$ 395.1714, found 395.1714.

(*R*)-4-((4-(Methylsulfonyl)phenyl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (40)



40

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 4-(methylsulfonyl)aniline **2n** (68.5 mg, 0.40 mmol,

2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **40** as a colorless oil (39.0mg, 46% yield, 88% ee).

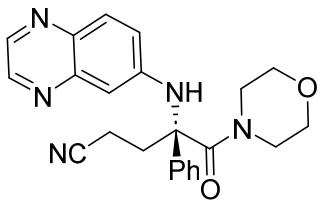
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 27.42 min, t_R (minor) = 32.98 min.

[k1]H NMR (600 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.4 Hz, 2H), 7.48 – 7.38 (m, 5H), 6.68 (d, *J* = 8.4 Hz, 2H), 6.23 (brs, 1H), 3.81 – 2.89 (m, 12H), 2.71 – 2.66 (m, 1H), 2.39 – 2.34 (m, 1H), 2.14 – 2.09 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 169.2, 147.9, 138.5, 129.7, 129.3, 129.0, 125.5, 119.0, 113.7, 65.9, 64.9, 44.9, 29.7, 12.3.

HRMS (ESI) m/z calcd. for $C_{22}H_{26}N_3O_4S$ $[M + H]^+$ 428.1639, found 428.1637.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-(quinoxali*n*-6-ylamino)pentanenitrile (41)



41

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), quinoxali*n*-6-amine **2o** (58.1 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **41** as a light brown solid (45.4 mg, 57% yield, 87% ee).

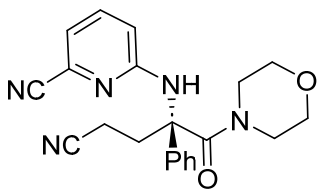
HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 8.74 min, t_R (major) = 10.82 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (d, *J* = 2.0 Hz, 1H), 8.51 (d, *J* = 2.0 Hz, 1H), 7.84 (d, *J* = 8.8 Hz, 1H), 7.61 – 7.42 (m, 4H), 7.37 (t, *J* = 7.2 Hz, 1H), 7.24 (d, *J* = 7.9 Hz, 1H), 6.89 (s, 1H), 6.37 (brs, 1H), 4.00 – 2.85 (m, 9H), 2.80 – 2.72 (m, 1H), 2.46 – 2.39 (m, 1H), 2.25 – 2.17 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 144.9, 144.8, 144.2, 141.0, 138.7, 137.9, 130.4, 129.5, 128.8, 125.8, 123.5, 119.1, 105.7, 65.9, 64.9, 45.9, 28.4, 12.3.

HRMS (ESI) m/z calcd. for $C_{23}H_{24}N_5O_2$ $[M + H]^+$ 402.1925, found 402.1924.

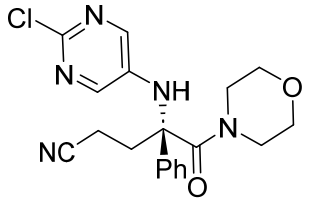
(*R*)-6-((4-Cyano-1-morpholino-1-oxo-2-phenylbuta*n*-2-yl)amino)picolinonitrile (42)



42

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 6-aminopicolinonitrile **2p** (47.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **42** as a white solid (48.2 mg, 64% yield, 92% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 11.33 min, t_R (major) = 26.37 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.35 (m, 5H), 7.30 – 7.27 (m, 1H), 6.97 (brs, 1H), 6.89 (d, *J* = 7.2 Hz, 1H), 6.67 (d, *J* = 8.6 Hz, 1H), 4.02 – 2.75 (m, 9H), 2.56 – 2.41 (m, 2H), 2.33 – 2.23 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 155.4, 138.8, 137.3, 130.6, 128.7, 128.2, 126.4, 119.3, 118.5, 117.5, 114.2, 65.7, 64.7, 46.8, 27.8, 12.4.
HRMS (ESI) m/z calcd. for $C_{21}H_{22}N_5O_2$ $[M + H]^+$ 376.1768, found 376.1756.

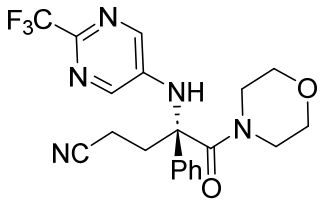
(*R*)-4-((2-Chloropyrimidi*n*-5-yl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (43)



43

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 2-chloropyrimidi*n*-5-amine **2q** (51.8 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **43** as a colorless oil (51.2 mg, 66% yield, 94% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 60/40, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 19.29 min, t_R (major) = 25.18 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (s, 2H), 7.49 – 7.35 (m, 5H), 6.43 (brs, 1H), 3.97 – 2.76 (m, 9H), 2.71 – 2.63 (m, 1H), 2.53 – 2.45 (m, 1H), 2.31 – 2.23 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 149.5, 144.6, 137.8, 136.9, 129.7, 129.2, 126.1, 118.8, 65.8, 64.5, 45.6, 28.4, 12.3.
HRMS (ESI) m/z calcd. for $C_{19}H_{21}ClN_5O_2$ $[M + H]^+$ 386.1378, found 386.1377.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-((2-(trifluoromethyl)pyrimidi*n*-5-yl)amino)pentanenitrile (44)



44

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 2-(trifluoromethyl)pyrimidi*n*-5-amine **2s** (65.2 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **44** as a white solid (52.4 mg, 62% yield, 90% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 20.87 min, t_R (minor) = 25.64 min,

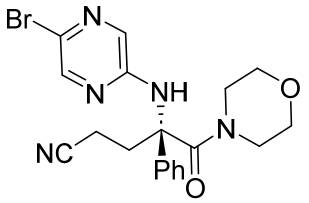
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (s, 2H), 7.48 – 7.36 (m, 5H), 6.95 (s, 1H), 4.23 – 2.77 (m, 9H), 2.74 – 2.67 (m, 1H), 2.54 – 2.46 (m, 1H), 2.36 – 2.28 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 145.8 (q, *J* = 37.1 Hz), 141.5, 138.9, 137.7, 129.9, 129.4, 126.3, 119.9 (q, *J* = 271.8 Hz), 118.5, 65.9, 64.2, 46.4, 27.6, 12.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -69.12.

HRMS (ESI) m/z calcd. for $C_{20}H_{21}F_3N_5O_2$ $[M + H]^+$ 420.1642, found 420.1640.

(*R*)-4-((5-Bromopyrazi*n*-2-yl)amino)-5-morpholino-5-oxo-4-phenylpentanenitrile (45)



45

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), 5-bromopyrazi*n*-2-amine **2r** (69.6 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **45** as a colorless oil (57.7 mg, 67% yield, 93% ee).

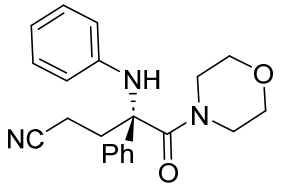
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 17.93 min, t_R (major) = 19.86 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (s, 1H), 7.75 (d, *J* = 1.5 Hz, 1H), 7.42 – 7.35 (m, 4H), 7.32 – 7.28 (m, 1H), 6.94 (brs, 1H), 3.93 – 2.80 (m, 9H), 2.59 – 2.42 (m, 2H), 2.27 – 2.19 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.2, 150.6, 143.1, 138.5, 133.3, 128.8, 128.5, 126.7, 126.3, 119.2, 66.0, 64.6, 47.2, 28.2, 12.4.

HRMS (ESI) m/z calcd. for $C_{19}H_{21}BrN_5O_2$ $[M + H]^+$ 430.0873, found 430.0874.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-(phenylamino)pentanenitrile (46)



46

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), aniline **2s** (37.3 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **46** as a colorless oil (33.5 mg, 48% yield, 36% ee).

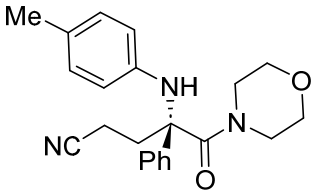
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.52 min, t_R (major) = 15.22 min.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 – 7.45 (m, 2H), 7.41 – 7.37 (m, 3H), 7.17 (t, *J* = 7.9 Hz, 2H), 6.79 (t, *J* = 7.4 Hz, 1H), 6.70 (d, *J* = 7.9 Hz, 2H), 4.89 (s, 1H), 3.70 – 3.02 (m, 8H), 2.85 – 2.80 (m, 1H), 2.78 – 2.72 (m, 1H), 2.21 – 2.16 (m, 1H), 2.06 – 2.00 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 170.4, 143.5, 139.2, 129.5, 128.6, 124.8, 119.5, 119.2, 114.8, 66.1, 65.5, 44.3, 32.1, 12.2.

HRMS (ESI) m/z calcd. for $C_{21}H_{24}N_3O_2$ $[M + H]^+$ 350.1863, found 350.1859.

(*R*)-5-Morpholino-5-oxo-4-phenyl-4-(p-tolylamino)pentanenitrile (47)



47

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (43.5 mg, 0.20 mmol, 1.0 equiv), *p*-toluidine **2t** (42.9 mg, 0.40 mmol, 2.0 equiv) and bromoacetonitrile **3a** (48.0 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **46** as a colorless oil (17.4 mg, 24% yield, 14% ee).

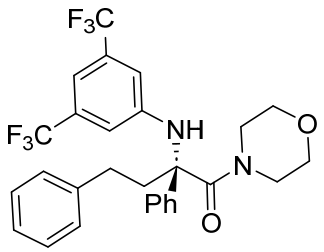
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 12.23 min, t_R (minor) = 13.96 min.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.46 (t, *J* = 7.6 Hz, 2H), 7.40 – 7.37 (m, 3H), 6.98 (d, *J* = 8.2 Hz, 2H), 6.62 (d, *J* = 8.3 Hz, 2H), 4.69 (s, 1H), 3.67 – 3.01 (m, 8H), 2.80 – 2.72 (m, 2H), 2.24 (s, 3H), 2.17 – 2.11 (m, 1H), 2.04 – 1.98 (m, 1H).

^{13}C NMR (150 MHz, $CDCl_3$) δ 170.6, 141.0, 139.3, 130.0, 129.5, 128.6, 128.5, 124.8, 119.6, 115.0, 66.1, 65.6, 46.6, 32.2, 20.4, 12.1.

HRMS (ESI) m/z calcd. for $C_{22}H_{26}N_3O_2$ $[M + H]^+$ 364.2020, found 364.2023.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-2,4-diphenylbuta*n*-1-one (49)



49

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and (bromomethyl)benzene **3b** (68.4 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **49** as a white solid (67.6 mg, 63% yield, 93% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.81 min, t_R (major) = 5.45 min.

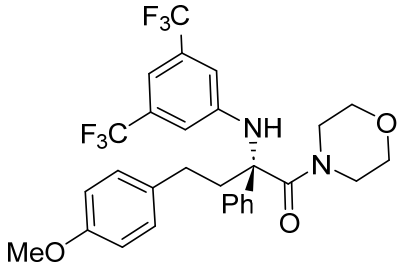
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.6 Hz, 2H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.32 – 7.30 (m, 1H), 7.27 – 7.23 (m, 2H), 7.20 – 7.15 (m, 1H), 7.06 – 7.02 (m, 3H), 6.85 (s, 3H), 3.60 – 2.95 (m, 9H), 2.76 – 2.69 (m, 1H), 2.59 – 2.52 (m, 1H), 2.48 – 2.42 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 144.9, 140.9, 140.3, 131.9 (q, *J* = 32.4 Hz), 129.2, 128.6, 128.4, 128.3, 126.7, 126.3, 123.4 (q, *J* = 270.8 Hz), 113.5, 110.0, 66.1, 65.4, 45.6, 33.3, 30.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.31.

HRMS (ESI) m/z calcd. for $C_{28}H_{27}F_6N_2O_2$ $[M + H]^+$ 537.1971, found 537.1954.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(4-methoxyphenyl)-1-morpholino-2-phenylbuta*n*-1-one (50)

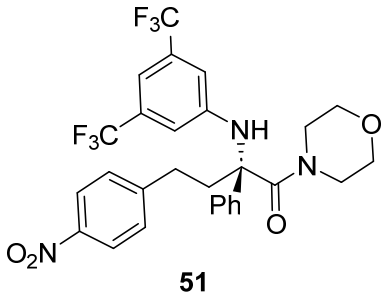


50

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and 1-(bromomethyl)-4-methoxybenzene **3c** (80.4 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **50** as a white solid (62.3 mg, 55% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.74 min, t_R (major) = 5.54 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, *J* = 7.6 Hz, 2H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.32 – 7.28 (m, 1H), 7.02 (s, 1H), 6.96 (d, *J* = 8.6 Hz, 2H), 6.84 (s, 2H), 6.81 – 6.77 (m, 2H), 3.77 (s, 3H), 3.59 – 2.91 (m, 9H), 2.69 – 2.62 (m, 1H), 2.55 – 2.48 (m, 1H), 2.43 – 2.36 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 158.1, 144.9, 140.4, 132.8, 131.9 (q, *J* = 32.4 Hz), 129.2, 128.4, 126.7, 123.4 (q, *J* = 271.1 Hz), 114.0, 113.5, 109.9, 66.1, 65.4, 55.2, 33.5, 29.7, 29.5.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.33.
HRMS (ESI) m/z calcd. for $C_{29}H_{29}F_6N_2O_3$ $[M + H]^+$ 567.2077, found 567.2065.

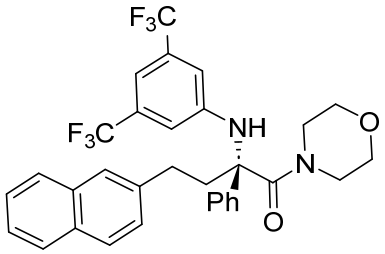
(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-(4-methoxyphenyl)-1-morpholino-2-phenylbuta*n*-1-one (51)



51

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and 1-(bromomethyl)-4-nitrobenzene **3d** (86.4 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **51** as a pale yellow solid (83.7 mg, 72% yield, 93% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 6.39 min, t_R (major) = 7.48 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.7 Hz, 2H), 7.49 – 7.32 (m, 4H), 7.34 (t, *J* = 7.2 Hz, 1H), 7.18 (d, *J* = 8.6 Hz, 2H), 7.06 (s, 1H), 6.88 (s, 2H), 6.64 (brs, 1H), 3.90 – 2.90 (m, 9H), 2.80 – 2.73 (m, 1H), 2.65 – 2.54 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 148.8, 146.4, 144.8, 139.8, 132.1 (q, *J* = 32.5 Hz), 129.4, 129.1, 128.6, 126.3, 123.7, 121.8 (q, *J* = 271.0 Hz), 113.3, 110.3, 66.1, 65.4, 45.7, 33.5, 30.3.
HRMS (ESI) m/z calcd. for $C_{28}H_{26}F_6N_3O_4$ $[M + H]^+$ 582.1822, found 582.1804.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-4-(naphthalen-**2-yl)-2-phenylbutan-1-one (52)**



52

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and 2-(bromomethyl)naphthalene **5c** (88.4 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **52** as a white solid (79.8 mg, 68% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.41 min, t_R (major) = 4.94 min.

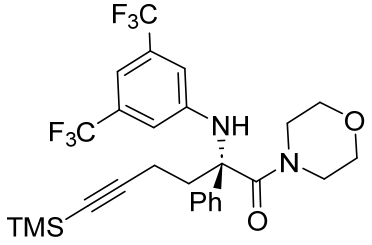
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.76 (m, 1H), 7.73 – 7.71 (m, 2H), 7.50 – 7.46 (m, 3H), 7.44 – 7.37 (m, 4H), 7.30 (t, *J* = 7.3 Hz, 1H), 7.15 – 7.13 (m, 1H), 7.03 (s, 1H), 6.87 (s, 3H), 3.53 – 2.85 (m, 10H), 2.68 – 2.59 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 144.9, 140.3, 138.3, 133.5, 132.4, 132.0, 131.9 (q, *J* = 32.4 Hz), 129.2, 128.4, 128.2, 127.6, 127.3, 126.8, 126.7, 126.4, 126.2, 125.4, 123.4 (q, *J* = 271.0 Hz), 113.5, 113.47, 110.0, 66.1, 65.4, 45.8, 33.3, 30.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26.

HRMS (ESI) m/z calcd. for $C_{32}H_{29}F_6N_2O_2$ $[M + H]^+$ 587.2128, found 587.2115.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-2-phenyl-6-(trimethylsilyl)hex-5-yn-1-one (53)



53

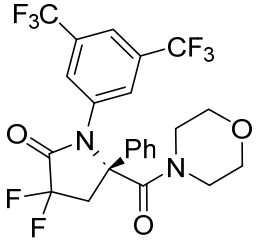
According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and (3-bromoprop-1-y*n*-1-yl)trimethylsilane **3f** (76.5 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **53** as a colorless oil (70.1 mg, 63% yield, 94% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.21 min, t_R (major) = 4.75 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.38 (m, 4H), 7.33 – 7.29 (m, 1H), 7.04 (s, 1H), 6.85 (s, 2H), 6.61 (brs, 1H), 4.02 – 2.93 (m, 8H), 2.90 – 2.82 (m, 1H), 2.57 – 2.50 (m, 1H), 2.38 – 2.30 (m, 1H), 2.11 – 2.03 (m, 1H), 0.13 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 144.8, 139.5, 132.0 (q, *J* = 32.5 Hz), 129.3, 128.5, 126.5, 123.4 (q, *J* = 271.1 Hz), 113.6, 110.3, 105.6, 85.5, 66.0, 65.2, 45.8, 31.3, 15.0, 0.0.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.29.
HRMS (ESI) m/z calcd. for $C_{27}H_{31}F_6N_2O_2Si$ $[M + H]^+$ 557.2054, found 557.2044.

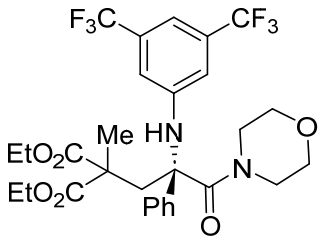
(*R*)-1-(3,5-Bis(trifluoromethyl)phenyl)-3,3-difluoro-5-(morpholine-4-carbonyl)-5-phenylpyrrolidin-2-one (54)



54

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and ethyl 2-bromo-2,2-difluoroacetate **3g** (81.2 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **54** as a colorless oil (85.7 mg, 82% yield, 95% ee).
HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 6.92 min, t_R (major) = 7.98 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 – 6.64 (m, 8H), 3.82 – 3.51 (m, 5H), 3.40 (brs, 1H), 3.28 – 3.16 (m, 1H), 3.09 (td, *J* = 15.3, 6.8 Hz, 2H), 2.98 – 2.82 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 162.9 (t, *J* = 30.7 Hz), 136.5, 134.5, 131.5 (q, *J* = 33.7 Hz), 131.1 – 130.9 (m), 130.7, 129.9, 122.6 (q, *J* = 271.3 Hz), 122.2 (hept, *J* = 4.1 Hz), 115.7 (t, *J* = 250.2 Hz), 71.1 – 70.9 (m), 66.6, 65.0, 47.3, 43.4, 40.1 (t, *J* = 23.6 Hz).
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.01, -102.27, -103.00, -103.32, -104.04.
HRMS (ESI) m/z calcd. for $C_{23}H_{19}F_8N_2O_3$ $[M + H]^+$ 523.1262, found 523.1253.

Diethyl (*R*)-2-(2-((3,5-bis(trifluoromethyl)phenyl)amino)-3-morpholino-3-oxo-2-phenylpropyl)-2-methylmalonate (55)



55

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and diethyl 2-bromo-2-methylmalonate **3h** (101.2 mg, 0.40 mmol,

2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **55** as a white solid (63.1 mg, 51% yield, 86% ee).

HPLC analysis: Chiralcel IA (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.21 min, t_R (major) = 4.75 min.

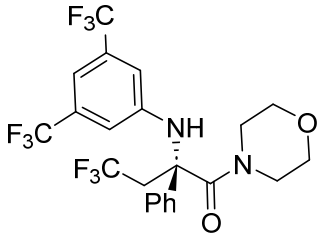
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (brs, 1H), 7.58 – 7.23 (m, 3H), 7.12 – 6.83 (m, 3H), 6.68 (s, 2H), 4.17 – 3.63 (m, 6H), 3.36 (brs, 5H), 3.15 – 2.95 (m, 2H), 2.63 (brs, 1H), 1.46 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H), 0.86 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 171.1, 170.4, 145.0, 139.0, 131.3 (q, *J* = 32.8 Hz), 128.5, 127.8, 126.8, 123.3 (q, *J* = 270.8 Hz), 114.3, 109.9, 65.8, 63.2, 61.8, 61.0, 52.5, 47.7, 44.7, 37.4, 18.5, 13.9, 13.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26.

HRMS (ESI) m/z calcd. for $C_{29}H_{33}F_6N_2O_2$ $[M + H]^+$ 619.2237, found 619.2224.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4,4,4-trifluoro-1-morpholino-2-phenylbutan-1-one (56)



56

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and Togni Reagent II **3i** (126.4 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **56** as a colorless oil (62.8 mg, 61% yield, 84% ee).

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 6.74 min, t_R (major) = 7.55 min.

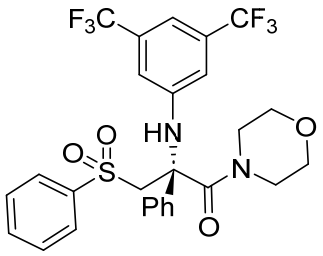
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.39 (m, 5H), 7.24 (s, 1H), 7.03 (s, 2H), 5.89 (brs, 1H), 3.49 – 3.23 (m, 10H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 144.7, 137.8, 132.7 (q, *J* = 32.7 Hz), 129.5, 128.9, 125.5 (q, *J* = 276.8 Hz), 124.9, 123.2 (q, *J* = 271.1 Hz), 114.0, 112.1, 65.9, 62.8, 45.5, 37.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.28.

HRMS (ESI) m/z calcd. for $C_{22}H_{20}F_9N_2O_2$ $[M + H]^+$ 515.1376, found 515.1366.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-2-phenyl-3-(phenylsulfonyl)propan-1-one (57)



57

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and benzenesulfonyl chloride **3j** (70.6 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **57** as a white solid (78.6 mg, 67% yield, 87% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 9.31 min, t_R (major) = 15.2 min.

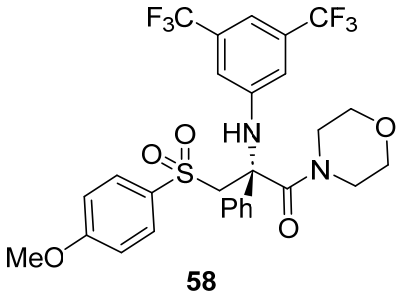
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.36 (m, 5H), 7.29 – 7.25 (m, 7H), 7.05 (brs, 1H), 6.33 (s, 1H), 4.59 (d, *J* = 15.4 Hz, 1H), 4.18 (d, *J* = 15.5 Hz, 1H), 3.73 – 2.90 (m, 8H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 144.7, 140.3, 136.0, 133.3, 132.5 (q, *J* = 32.7 Hz), 129.1, 128.9, 128.8, 127.1, 125.4, 123.1 (q, *J* = 271.1 Hz), 115.0, 112.7, 65.8, 64.3, 59.0, 45.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.24.

HRMS (ESI) m/z calcd. for $C_{27}H_{25}F_6N_2O_4S$ $[M + H]^+$ 587.1434, found 587.1413.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-3-((4-methoxyphenyl)sulfonyl)-1-morpholino-2-phenylpropa*n*-1-one (58)



58

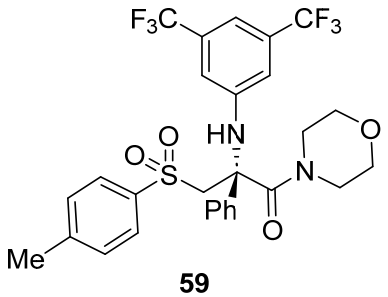
According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and 4-methoxybenzenesulfonyl chloride **3k** (82.6 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **58** as a white solid (104.8 mg, 85% yield, 95% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 13.7 min, t_R (major) = 22.0 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, *J* = 37.4 Hz, 9H), 7.05 (brs, 1H), 6.72 (d, *J* = 8.5 Hz, 2H), 6.41 (s, 1H), 4.54 (d, *J* = 15.5 Hz, 1H), 4.18 (d, *J* = 15.4 Hz, 1H), 3.78 (s, 3H), 3.65 – 2.93 (m, 8H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 163.3, 144.6, 136.3, 132.3 (q, *J* = 31.9 Hz), 131.8, 129.4, 129.1, 128.7, 125.4, 123.1 (q, *J* = 271.1 Hz), 114.7, 114.0, 112.3, 65.8, 64.1, 58.9, 55.5, 45.5.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26.
HRMS (ESI) m/z calcd. for $C_{28}H_{27}F_6N_2O_5S$ $[M + H]^+$ 617.1539, found 617.1518.

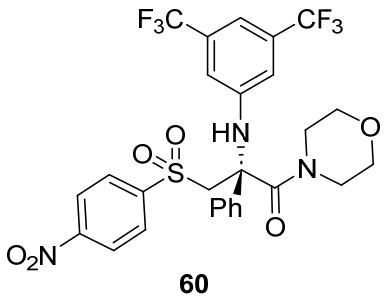
(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-2-phenyl-3-tosylpropan-1-one (59)



59

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and tosyl chloride **3l** (70.6 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **59** as a white solid (76.2 mg, 82% yield, 96% ee).
HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 21.0 min, t_R (major) = 31.7 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.30 (m, 7H), 7.23 (brs, 1H), 7.17 – 6.74 (m, 4H), 6.35 (s, 1H), 4.55 (d, *J* = 15.4 Hz, 1H), 4.17 (d, *J* = 15.4 Hz, 1H), 3.62 – 3.01 (m, 8H), 2.32 (s, 3H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 144.6, 144.4, 137.3, 132.4 (q, *J* = 33.1 Hz), 129.5, 129.1, 128.7, 127.2, 125.5, 123.2 (q, *J* = 271.1 Hz), 114.8, 112.4, 65.8, 64.2, 58.9, 45.5, 21.3.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26.
HRMS (ESI) m/z calcd. for $C_{28}H_{27}F_6N_2O_4S$ $[M + H]^+$ 601.1590, found 601.1573.

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-1-morpholino-3-((4-nitrophenyl)sulfonyl)-2-phenylpropan-1-one (60)



60

According to **General Procedure C** with 1-morpholino-2-phenylprop-2-en-1-one **1a** (38.2 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline **2a** (45.8 mg, 0.20 mmol, 2.0 equiv) and 4-nitrobenzenesulfonyl chloride **3m**(88.6 mg, 0.40 mmol, 2.0 equiv) for 72 h, the reaction mixture was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5/1) to yield the product **60** as a pale yellow solid (69.5 mg, 55% yield, 94% ee).

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 8.2 min, t_R (major) = 14.4 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.4 Hz, 2H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.36 – 7.26 (m, 6H), 7.15 (brs, 2H), 6.19 (s, 1H), 4.65 (d, *J* = 15.8 Hz, 1H), 4.21 (d, *J* = 15.8 Hz, 1H), 3.64 – 2.96 (m, 8H).

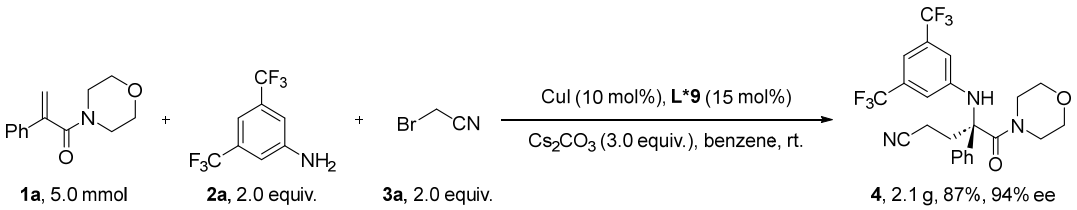
^{13}C NMR (100 MHz, $CDCl_3$) δ 167.7, 150.1, 145.6, 144.5, 135.4, 132.9 (q, *J* = 32.8 Hz), 129.2, 129.1, 128.5, 125.4, 123.9, 123.1 (q, *J* = 271.2 Hz), 115.3, 113.6, 65.8, 64.5, 59.8, 45.5.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.23.

HRMS (ESI) m/z calcd. for $C_{27}H_{24}F_6N_3O_6S$ $[M + H]^+$ 632.1285, found 632.1271.

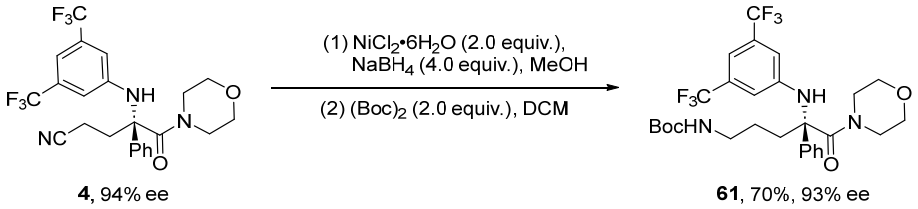
5. Procedure for synthetic applications

5.1 General Procedure D: Gram-scale reaction



Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with CuI (94.9 mg, 0.50 mmol, 10 mol%), **L*9** (322.5 mg, 0.75 mmol, 15 mol%), Cs_2CO_3 (4.9 g, 15.0 mmol, 3.0 equiv), amide-based alkene (1.1 g, 5.0 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline (2.2 g, 10.0 mmol, 2.0 equiv), bromoacetonitrile (1.2 g, 10.0 mmol, 2.0 equiv) and anhydrous benzene (100 mL) were sequentially added into the mixture and stirred at 30 ℃ for 96 h. Upon completion (monitored by TLC), the precipitate was filtered off and washed by EtOAc. The filtrate was evaporated, and the residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 3/1) to afford the desired product **4** (2.1 g, 87%, 94% ee).

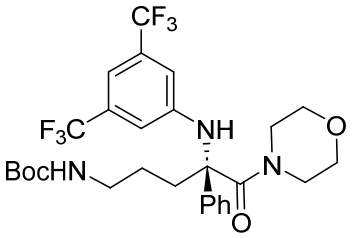
5.2 General Procedure E:



According to the literature reported procedure with slightly modification.[3] To a solution of **4** (97 mg, 0.2 mmol, 1.0 equiv) and $NiCl_2 \cdot 6H_2O$ (95 mg, 0.4 mmol, 2.0 equiv) in methanol (8 mL) was added $NaBH_4$ (30 mg, 0.8 mmol, 4.0 equiv) at 0°C, the reaction mixture was stirred for 1h under argon atmosphere. Upon completion, the reaction mixture was quenched with brine. Subsequently, the reaction mixture was filtered through a celite pad and washed with EtOAc three times. The combine organic phase was dried over Na_2SO_4, filtered, and concentrated under vacuum. The residue was used directly in the next step without further purification.

The crude product was dissolved in dichloromethane (4 mL). Et_3N (33 μL, 0.24 mmol, 1.2 equiv) and Boc_2O (55 μL, 0.24 mmol, 1.2 equiv) were sequentially added to the solution. After being stirred at room temperature for 6 h, the reaction mixture was concentrated in vacuo. The residue was purified through a silica gel column to give the desire product **61** (83 mg, 70% yield, 93% ee).

***Tert*-butyl (*R*)-(4-((3,5-bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-phenylpentyl)carbamate (61)**



61

HPLC analysis: Chiralcel IE (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 10.27 min, t_R (major) = 15.44 min.

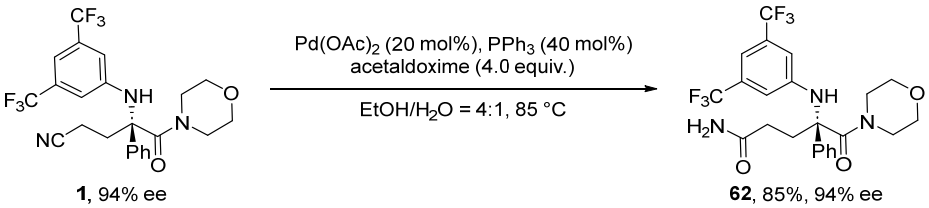
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.43 (m, 2H), 7.39 (t, *J* = 7.6 Hz, 2H), 7.30 (t, *J* = 7.2 Hz, 1H), 7.01 (s, 1H), 6.85 (s, 2H), 6.73 (brs, 1H), 4.49 (s, 1H), 3.80 – 2.87 (m, 10H), 2.68 – 2.61 (m, 1H), 2.35 – 2.27 (m, 1H), 1.55 – 1.46 (m, 1H), 1.40 (s, 10H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 156.0, 144.9, 140.2, 132.0 (q, *J* = 32.5 Hz), 129.2, 128.4, 127.4, 123.5 (q, *J* = 271.0 Hz), 113.33, 109.98, 79.31, 66.1, 65.3, 45.6, 40.1, 28.7, 28.3, 24.9.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.32.

HRMS (ESI) m/z calcd. for $C_{28}H_{34}F_6N_3O_4$ $[M + H]^+$ 590.2448, found 590.2437.

4.3 General Procedure F:

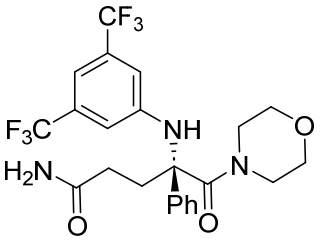


1, 94% ee

62, 85%, 94% ee

According to the literature reported procedure.[4] Under argon atmosphere, an oven-dried resealable Schlenk tube equipped with a magnetic stir bar was charged with **4** (97 mg, 0.2 mmol, 1.0 equiv), $Pd(OAc)_2$ (9.0 mg, 0.04 mmol, 20 mol%), PPh_3 (21.0 mg, 0.08 mmol, 40 mol%), acetaldoxime (47.3 mg, 0.8 mmol, 4.0 equiv) and solvent (4.0 mL, EtOH/H_2O = 4:1) were sequentially added into the mixture. The resulting solution was heated to 85 °C and stirred for 4 h. Upon completion, the resulting reaction mixture was allowed to cool to room temperature. Subsequently, the reaction mixture was filtered through a celite pad and washed by EtOAc. The filtrate was evaporated, and the residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 2/1) to afford the desired product **62** (86 mg, 85% yield, 94% ee).

(*R*)-4-((3,5-Bis(trifluoromethyl)phenyl)amino)-5-morpholino-5-oxo-4-phenylpentanamide (62)



62

HPLC analysis: Chiralcel IC (*n*-hexane/*i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 8.76 min, t_R (minor) = 18.70 min.

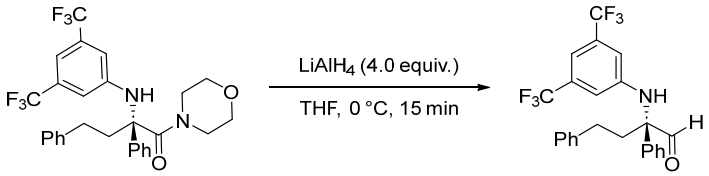
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 – 7.44 (m, 2H), 7.39 (t, *J* = 7.6 Hz, 2H), 7.32 – 7.29 (m, 1H), 7.01 (s, 1H), 6.84 (s, 3H), 5.57 (d, *J* = 71.3 Hz, 2H), 3.88 – 2.71 (m, 10H), 2.38 – 2.31 (m, 1H), 2.18 – 2.10 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 173.9, 170.0, 144.8, 139.7, 131.97, 131.96 (q, *J* = 32.4 Hz), 129.2, 128.5, 126.8, 123.3 (q, *J* = 271.0 Hz), 113.4, 110.1, 66.0, 65.0, 45.9, 30.1, 26.99.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.31.

HRMS (ESI) m/z calcd. for $C_{23}H_{24}F_6N_3O_3$ $[M + H]^+$ 504.1716, found 504.1708.

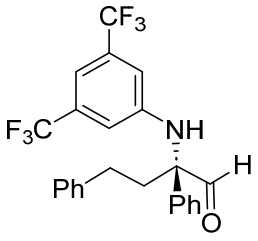
4.4 General Procedure G:



49, 94% ee — **63**, 85%, 95% ee

According to the literature reported procedure.[75] To a solution of **49** (107 mg, 0.20 mg, 1.0 equiv) in THF (2 mL) was slowly added $LiAlH_4$ (30.4 mg, 0.80 mmol. 4.0 equiv) at 0 °C. Upon completion (monitored by TLC), the reaction was quenched with saturated $NaHCO_3$ solution (3 mL) and extracted with EtOAc three times, dried with Na_2SO_4, filtered, 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 desired product **63** (77 mg, 85% yield, 95% ee)

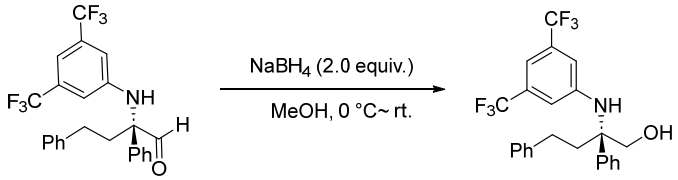
(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-2,4-diphenylbutanal (63)



63

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 9.13 min, t_R (minor) = 11.0 min.

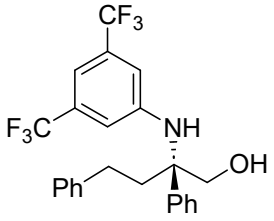
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (s, 1H), 7.41 (d, *J* = 4.3 Hz, 4H), 7.38 – 7.34 (m, 1H), 7.27 – 7.23 (m, 2H), 7.20 – 7.16 (m, 1H), 7.12 (s, 1H), 7.05 (d, *J* = 6.8 Hz, 2H), 6.78 (s, 2H), 5.87 (s, 1H), 2.89 – 2.73 (m, 2H), 2.62 – 2.55 (m, 1H), 2.45 – 2.37 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 144.9, 140.1, 134.9, 132.2 (q, *J* = 32.6 Hz), 129.7, 129.0, 128.6, 128.2, 127.1, 126.5, 123.3 (q, *J* = 271.0 Hz), 113.6 (q, *J* = 3.2 H z), 110.6 (p, *J* = 3.9 Hz), 69.4, 31.9, 29.9.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.34.
HRMS (ESI) m/z calcd. for $C_{24}H_{20}F_6NO$ $[M + H]^+$ 452.1444, found 452.1426.



63, 95% ee
64, 87%, 95% ee

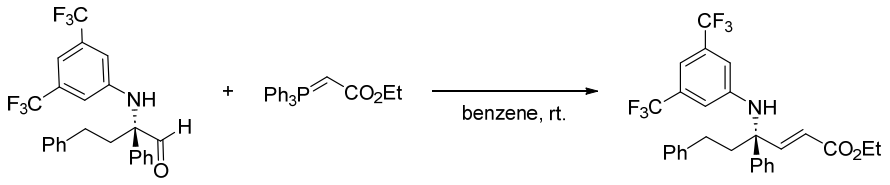
According to the literature reported procedure.[75] To a solution of **63** (45 mg, 0.1 mmol, 1.0 equiv) in methanol (1 mL) was added $NaBH_4$ (7.6 mg, 0.2 mmol, 2.0 equiv) at 0 °C, the reaction mixture was stirred for 1h. Upon completion, the reaction mixture was quenched with brine. Subsequently, the reaction mixture washed with EtOAc three times. The combine organic phase was dried over Na_2SO_4, filtered, and concentrated under vacuum. The residue was purified by preparative thi*n*-layer chromatography on silica gel to afford the desired product **64** (39 mg, 87% yield, 95% ee).

(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-2,4-diphenylbuta*n*-1-ol (64)



64

HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (major) = 23.66 min, t_R (minor) = 26.91 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.35 (m, 4H), 7.33 – 7.29 (m, 1H), 7.27 – 7.23 (m, 2H), 7.22 – 7.12 (m, 1H), 7.10 (s, 1H), 7.05 (d, *J* = 6.9 Hz, 2H), 6.73 (s, 2H), 4.90 (brs, 1H), 4.03 (d, *J* = 11.0 Hz, 1H), 3.93 (d, *J* = 11.0 Hz, 1H), 2.61 – 2.46 (m, 3H), 2.39 – 2.31 (m, 1H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 146.4, 141.4, 140.8, 132.0 (q, *J* = 32.5 Hz), 129.1, 128.5, 128.2, 127.8, 126.2, 126.1, 123.3 (q, *J* = 270.7 Hz), 114.0, 110.5 – 110.3 (m), 67.3, 62.4, 38.5, 30.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.36.
HRMS (ESI) m/z calcd. for $C_{24}H_{22}F_6NO$ $[M + H]^+$ 454.1600, found 454.1584.

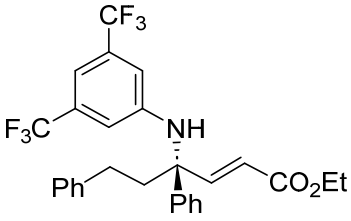


63, 95% ee

65, 75%, 95% ee

According to the literature reported procedure.[73] To a solution of **63** (45 mg, 0.1 mmol, 1.0 equiv) in benzene (1 mL) was added ethyl (triphenylphosphoranylidene)acetate (41.8 mg, 0.12 mmol, 1.2 equiv), the reaction mixture was stirred for 24 h at room temperature. Upon completion (monitored by TLC), the reaction mixture was extracted with EtOAc three times, dried with Na_2SO_4, filtered, and concentrated under vacuum. The residue was purified by preparative thi*n*-layer chromatography on silica gel to afford the desired product **65** (34 mg, 75% yield, 93% ee).

Ethyl (*S*, *E*)-4-((3,5-bis(trifluoromethyl)phenyl)amino)-4,6-diphenylhex-2-enoate (65)



65

HPLC analysis: Chiralcel IH (*n*-hexane/*i*-PrOH = 95/05, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 4.77 min, t_R (major) = 8.07 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (d, *J* = 15.7 Hz, 1H), 7.43 (d, *J* = 7.3 Hz, 2H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.32 – 7.26 (m, 3H), 7.23 – 7.19 (m, 1H), 7.08 (d, *J* = 7.2 Hz, 2H), 6.60 (s, 2H), 6.01 (d, *J* = 15.7 Hz, 1H), 4.75 (s, 1H), 4.22 (qd, *J* = 7.2, 1.9 Hz, 2H), 2.58 (t, *J* = 8.1 Hz, 2H), 2.42 – 2.28 (m, 2H), 1.30 (t, *J* = 7.1 Hz, 3H).

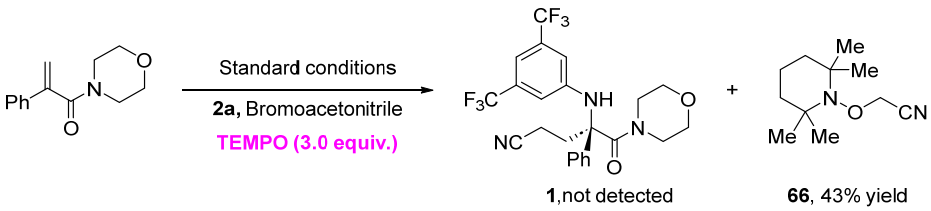
^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 148.0, 145.4, 140.6, 140.4, 131.7 (q, *J* = 32.7 Hz), 129.1, 128.7, 128.3, 127.9, 126.39, 126.38, 123.3 (q, *J* = 270.8 Hz), 122.0, 114.5 (q, *J* = 3.8 Hz), 110.8 – 110.6 (m), 63.4, 60.8, 45.2, 30.2, 14.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -63.36.

HRMS (ESI) m/z calcd. for $C_{28}H_{26}F_6NO_2$ $[M + H]^+$ 522.1862, found 522.1849.

6. Mechanism studies

6.1 Radical inhibiting experiment

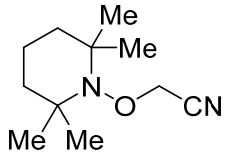


1,not detected

66, 43% yield

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** (12.9 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.6 mmol, 3.0 equiv), amide-based alkene (43.4 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline (91.6 mg, 0.40 mmol, 2.0 equiv), bromoacetonitrile (48.0 mg, 0.40 mmol, 2.0 equiv), TEMPO (93.8 mg, 0.6 mmol, 3.0 equiv) and anhydrous benzene (4.0 mL) were sequentially added into the mixture and stirred at 30 ℃ 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 preparative thi*n*-layer chromatography on silica gel to afford **66** (33.8 mg, 43% yield determined by the equivalent of bromoacetonitrile).

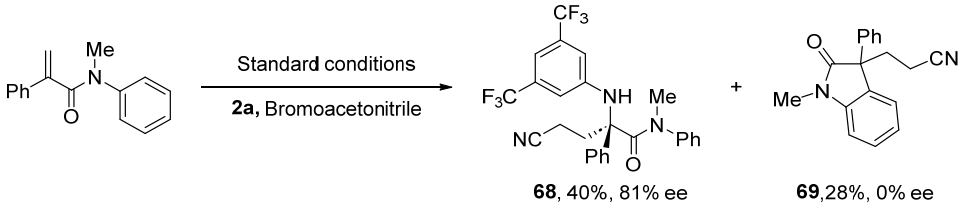
2-((2,2,6,6-Tetramethylpiperidi*n*-1-yl)oxy)acetonitrile (66)



66

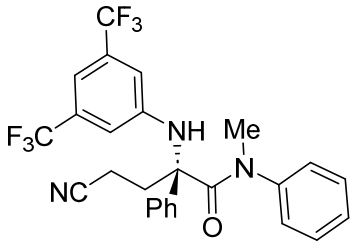
^{1}H NMR (400 MHz, $CDCl_3$) δ 4.52 (s, 2H), 1.59 – 1.42 (m, 5H), 1.36 – 1.30 (m, 1H), 1.20 (s, 6H), 1.10 (s, 6H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 116.0, 62.6, 60.3, 39.5, 32.9, 19.9, 16.8.
HRMS (ESI) m/z calcd. for $C_{11}H_{21}F_6N_2O$ $[M + H]^+$ 197.1648, found 197.1642.

6.2 Radical clock experiment



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** (12.9 mg, 0.03 mmol, 15 mol%), Cs_2CO_3 (195.5 mg, 0.6 mmol, 3.0 equiv), amide-based alkene **67** (47.5 mg, 0.20 mmol, 1.0 equiv), 3,5-bis(trifluoromethyl)aniline (91.6 mg, 0.40 mmol, 2.0 equiv), bromoacetonitrile (48.0 mg, 0.40 mmol, 2.0 equiv) and anhydrous benzene (4.0 mL) were sequentially added into the mixture and stirred at 30 ℃ 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 preparative thi*n*-layer chromatography on silica gel to afford **68** (40.4 mg, 40% yield, 80% ee) and cyclization product **69** (15.5 mg, 28% yield).

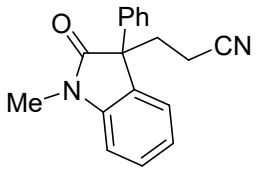
(*R*)-2-((3,5-Bis(trifluoromethyl)phenyl)amino)-4-cyano-*N*-methyl-N,2-diphenylbutanamide (68)



68

HPLC analysis: Chiralcel ODH (*n*-hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_R (minor) = 7.60 min, t_R (major) = 9.56 min.
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 6.72 (m, 13H), 5.94 (brs, 1H), 3.23 (s, 3H), 2.83 – 2.63 (m, 2H), 2.39 – 2.22 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 170.3, 144.4, 142.0, 139.1, 132.2 (q, *J* = 32.8 Hz), 129.2, 129.1, 128.4, 128.0, 127.3, 125.8, 123.2 (q, *J* = 271.0 Hz), 119.1, 113.7, 110.9, 65.5, 41.6, 29.2, 12.2.
^{19}F NMR (376 MHz, $CDCl_3$) δ -63.35.
HRMS (ESI) m/z calcd. for $C_{26}H_{22}F_6N_3O$ $[M + H]^+$ 506.1662, found 506.1649.

3-(1-Methyl-2-oxo-3-phenylindoli*n*-3-yl) propanenitrile (69)



69

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.25 (m, 7H), 7.17 (td, *J* = 7.5, 1.0 Hz, 1H), 6.94 (d, *J* = 7.8 Hz, 1H), 3.25 (s, 3H), 2.87 – 2.79 (m, 1H), 2.53 – 2.46 (m, 1H), 2.22 – 2.08 (m, 2H).
^{13}C NMR (100 MHz, $CDCl_3$) δ 177.0, 143.7, 138.3, 129.9, 129.1, 128.9, 127.9, 126.6, 124.7, 123.2, 118.7, 108.8, 55.4, 33.2, 26.5, 13.1.
HRMS (ESI) m/z calcd. for $C_{18}H_{17}N_2O$ $[M + H]^+$ 277.1335, found 277.1332.

7. References

1. Wu, D.; Fan, W.; Wu, L.; Chen, P.; Liu, G. Copper-Catalyzed Enantioselective Radical Chlorination of Alkenes. *ACS Catal.* **2022**, *12*, 5284–5291.

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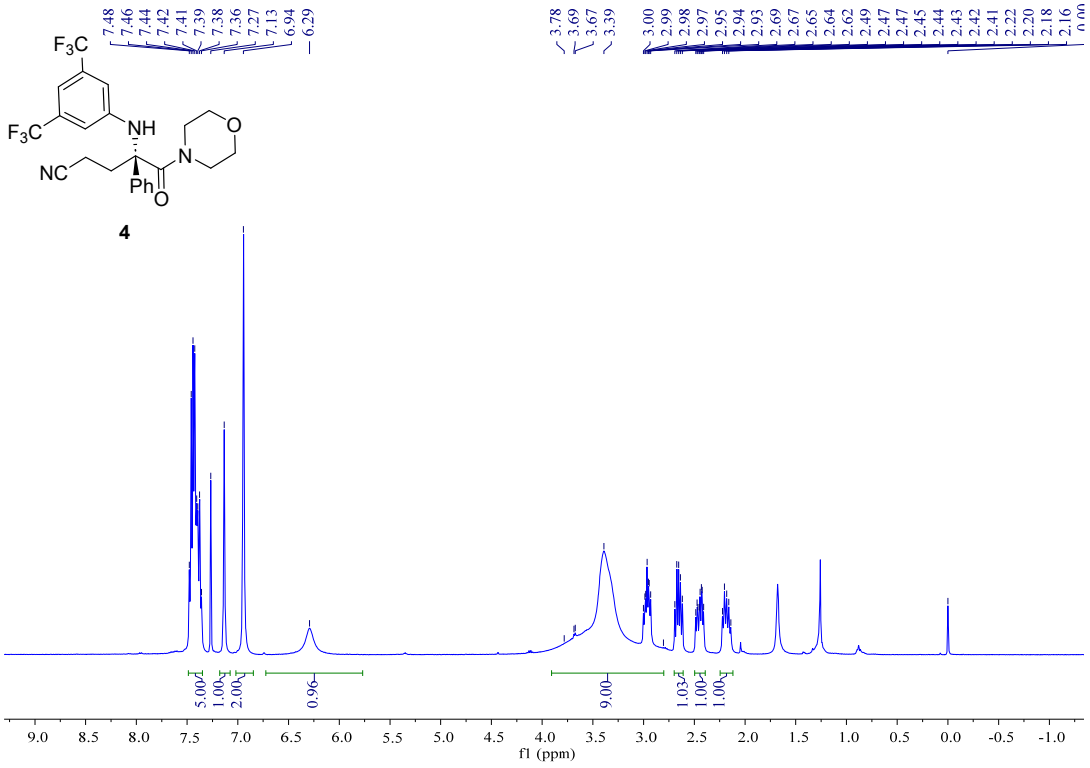
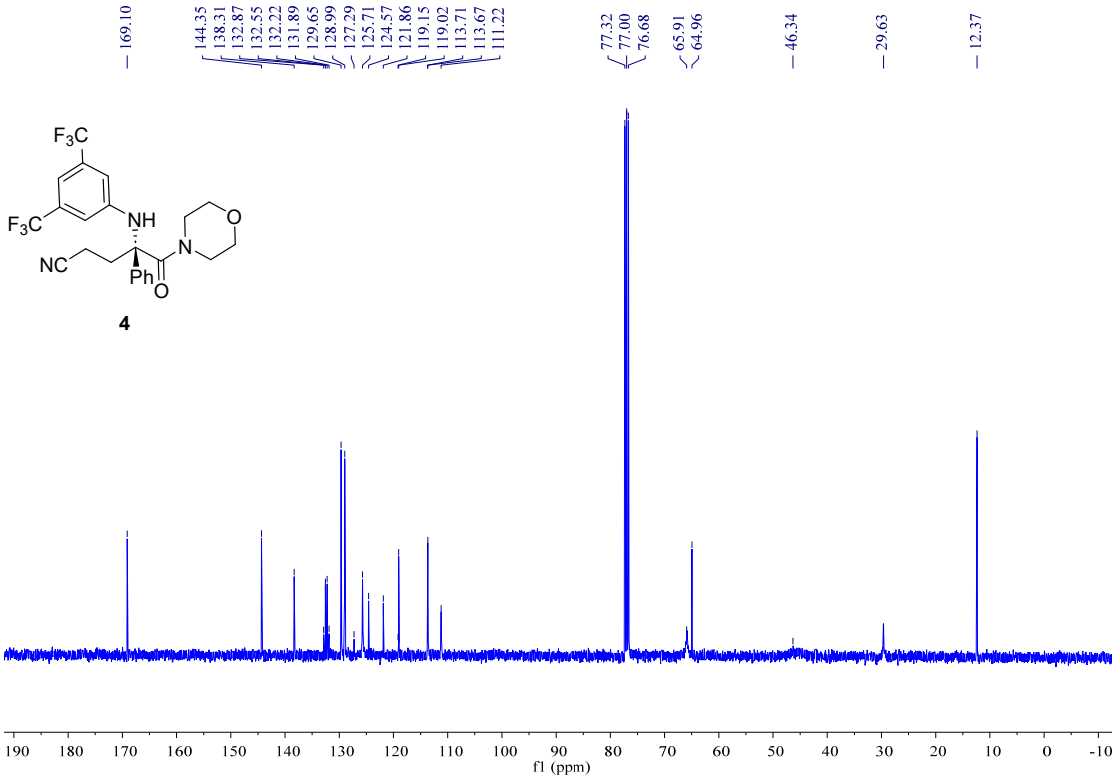
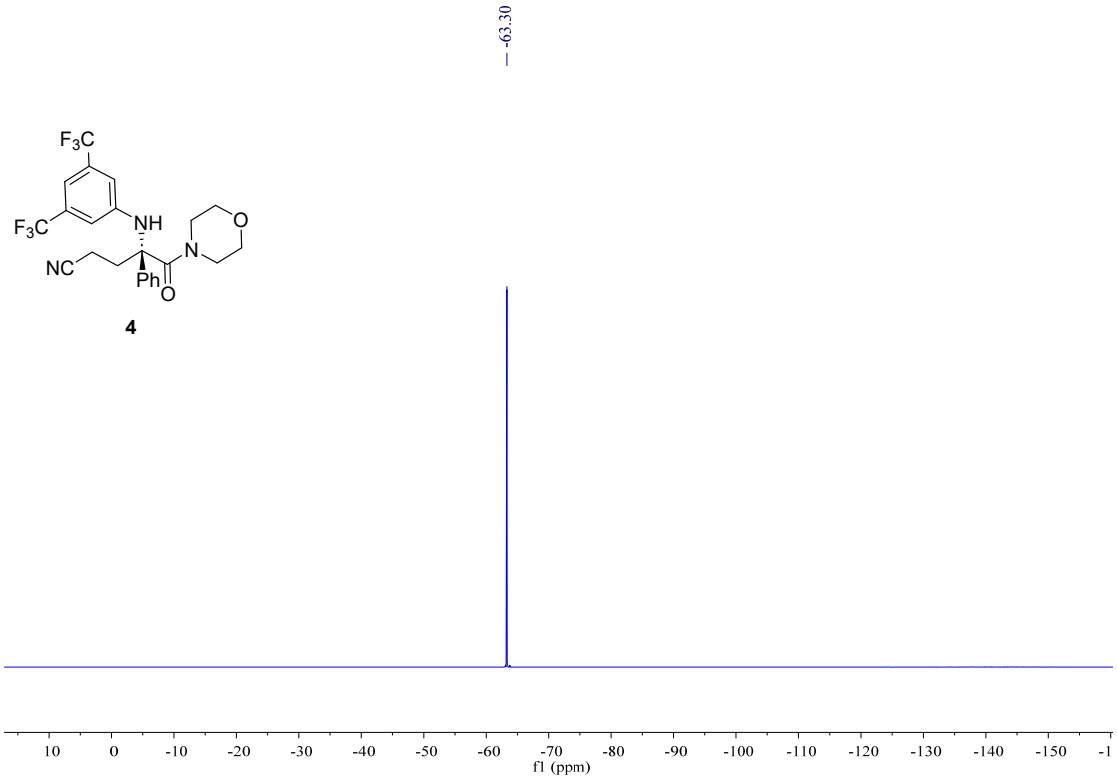
3. Zheng, Y.-T.; Xu, H.-C. Electrochemical Azidocyanation of Alkenes. *Angew. Chem. Int. Ed.* **2024**, *63*, e202313273.

4. Zhang, B.; Li, T.-T.; Mao, Z.-C.; Jiang, M.; Zhang, Z.; Zhao, K.; Qu, W.-Y.; Xiao, W.-J.; Chen, J.-R. *J. Am. Chem. Soc.* **2024**, *146*, 1410–1422.

8. NMR spectra



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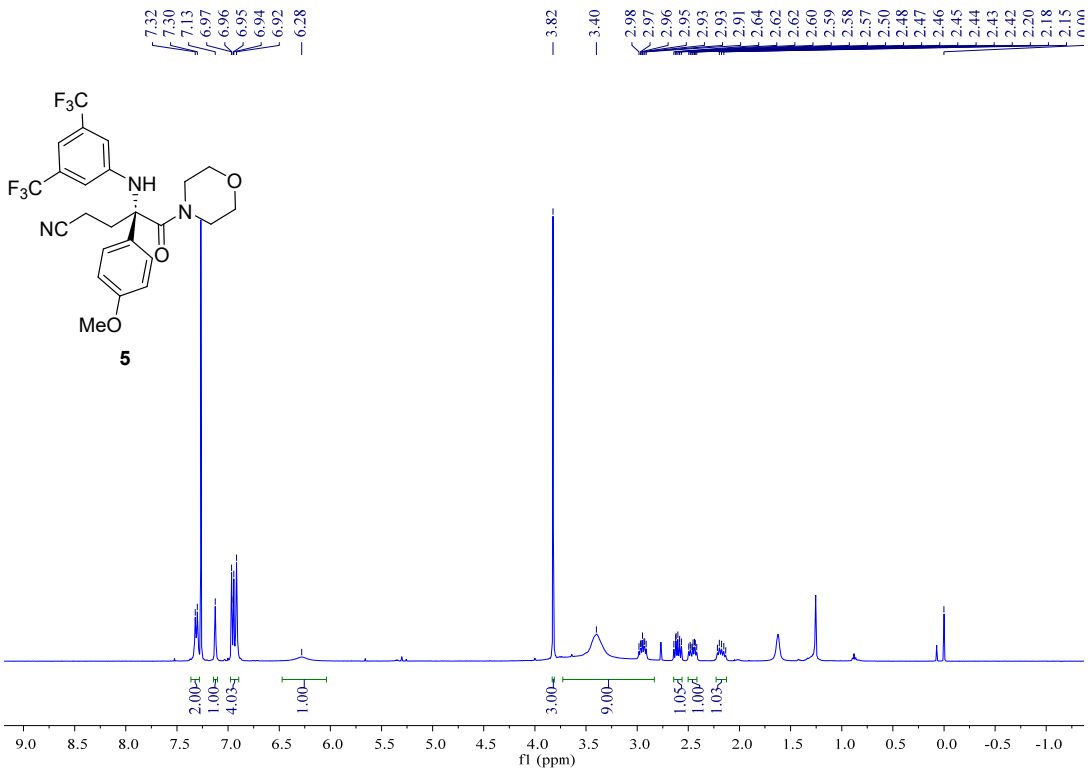
f1 (ppm)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2.57
2.50
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2.47
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2.45
2.44
2.43
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2.20
2.18
2.15
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1.00
4.03
1.00
3.00
9.00
1.05
1.00
1.03
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
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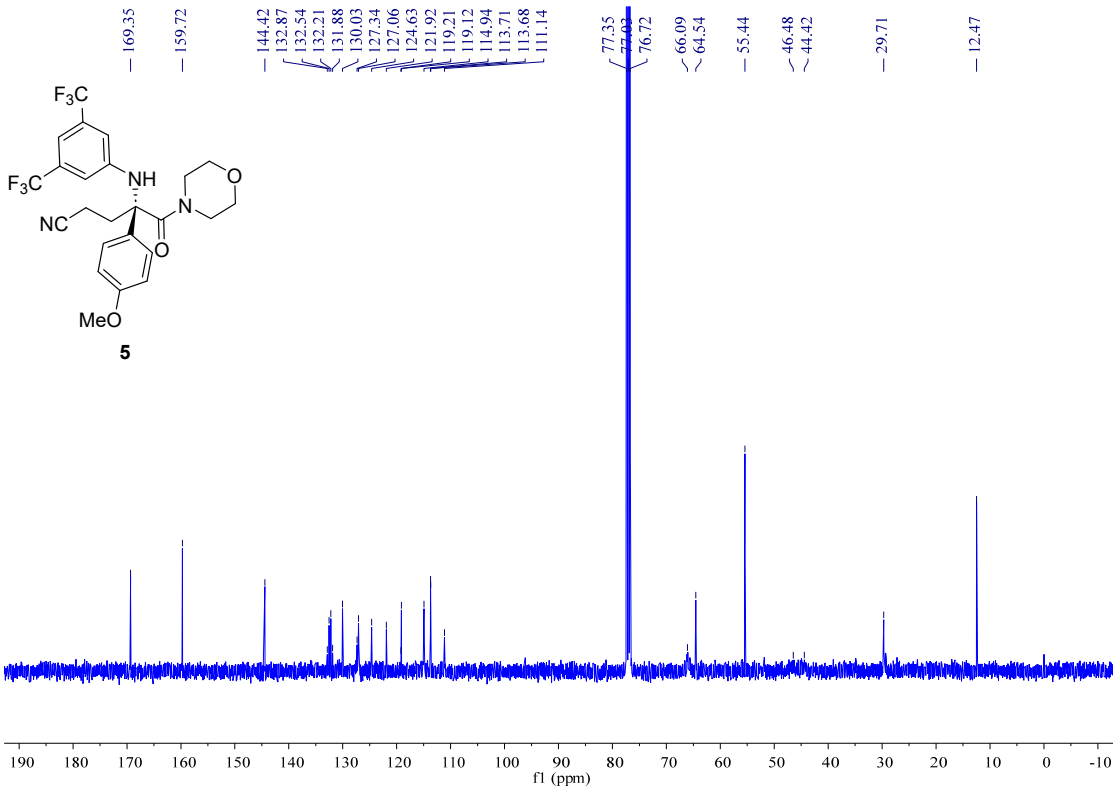
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77.03
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66.09
64.54
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46.48
44.42
29.71
12.47
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O
N
NC
O
MeO
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f1 (ppm)


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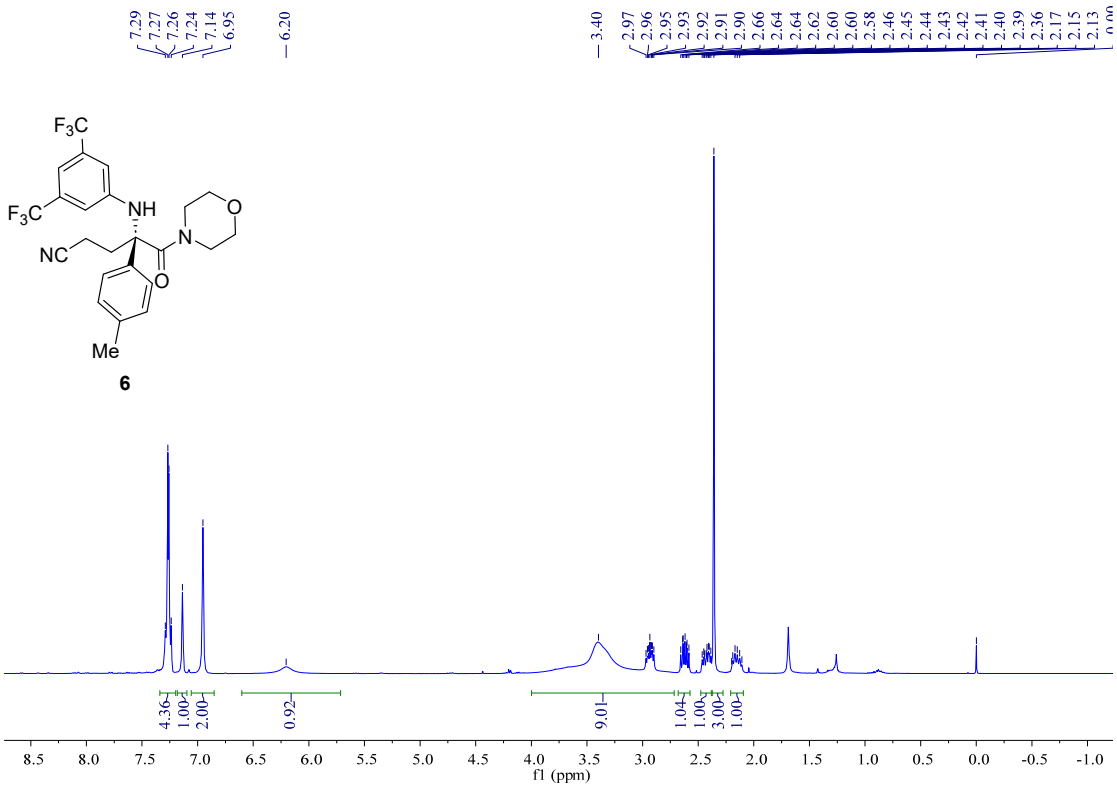
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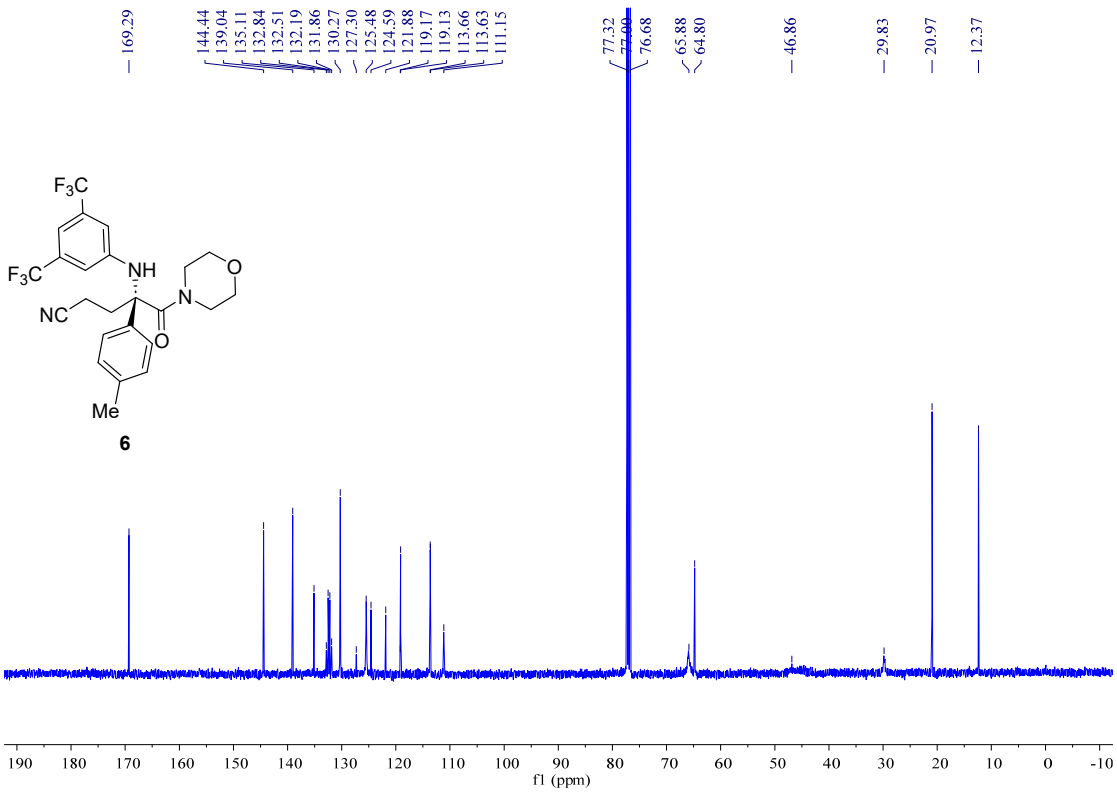
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NC
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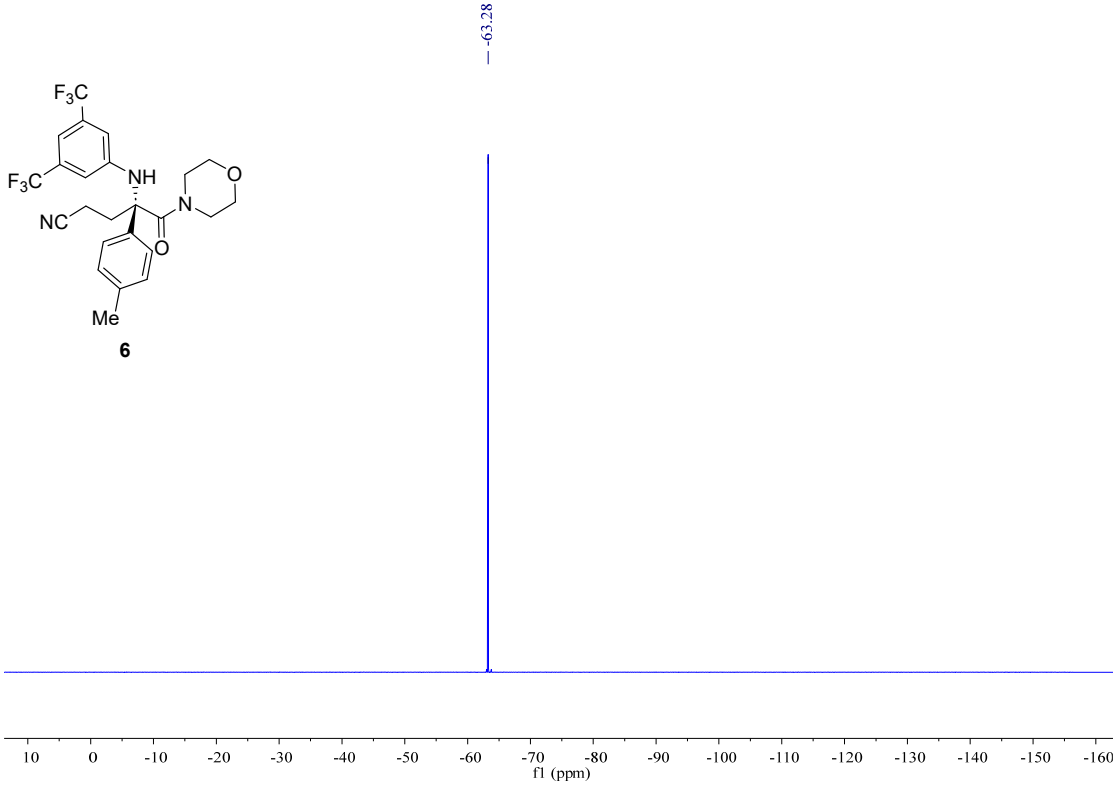
f1 (ppm)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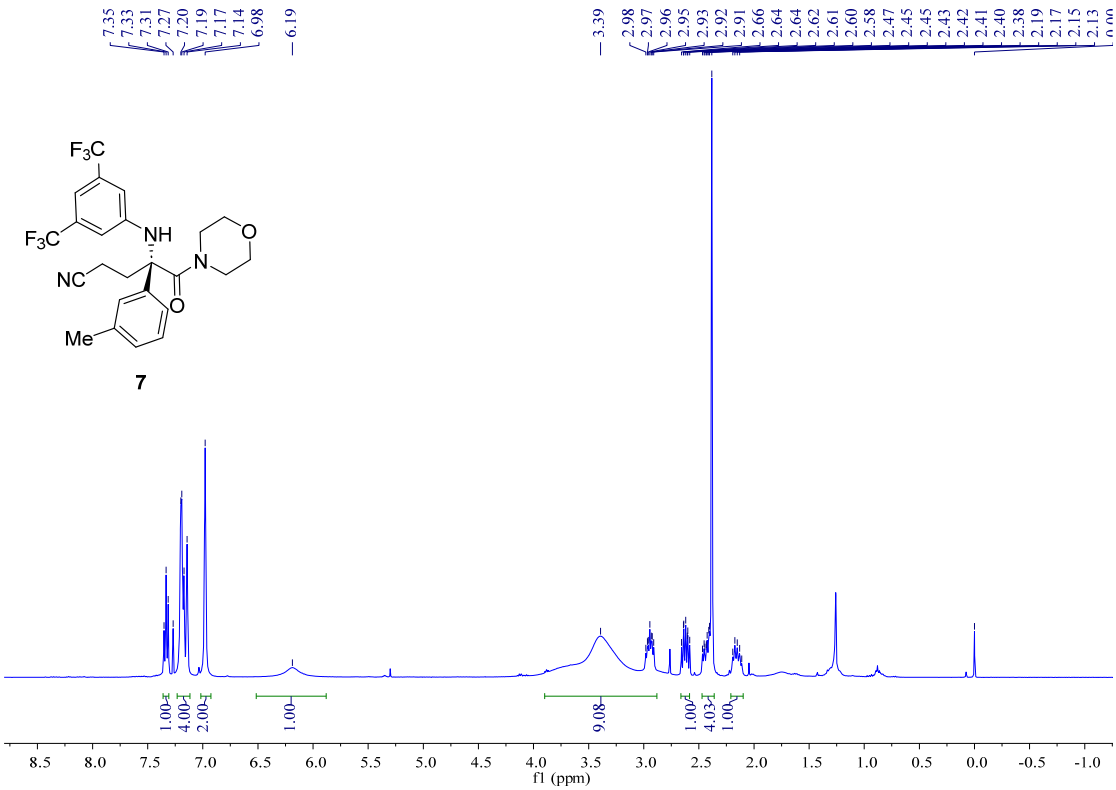
f1 (ppm)

6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F3C
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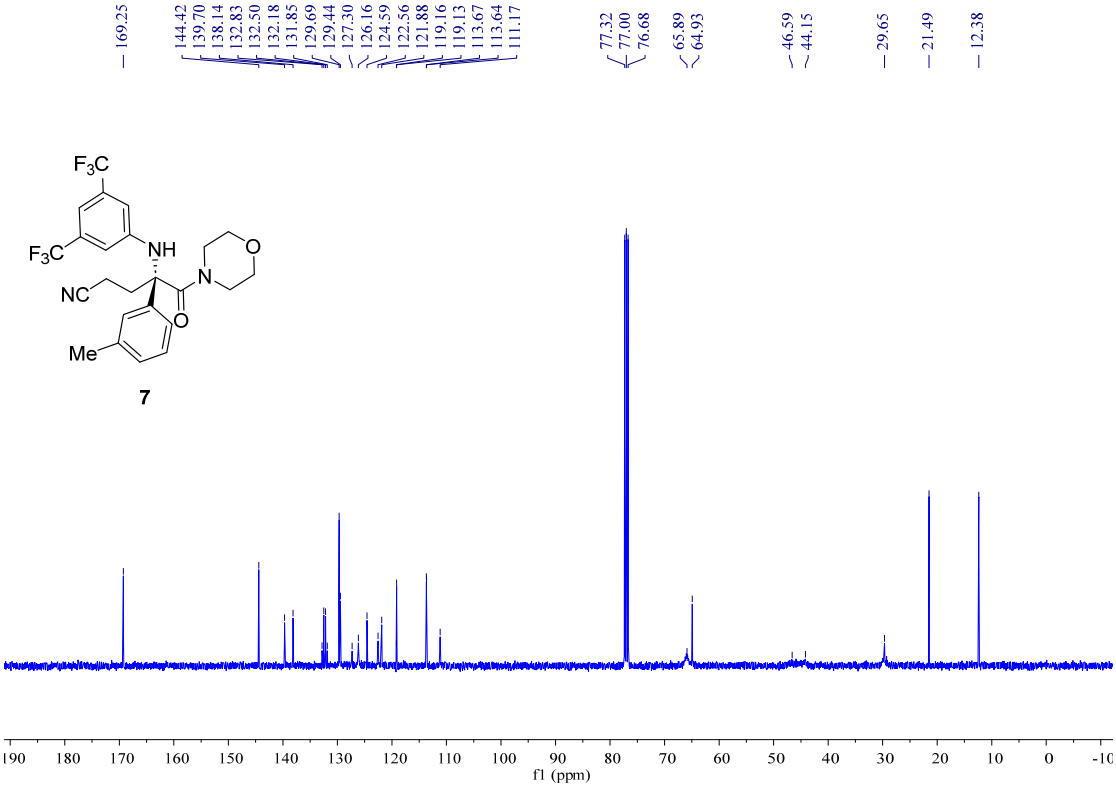
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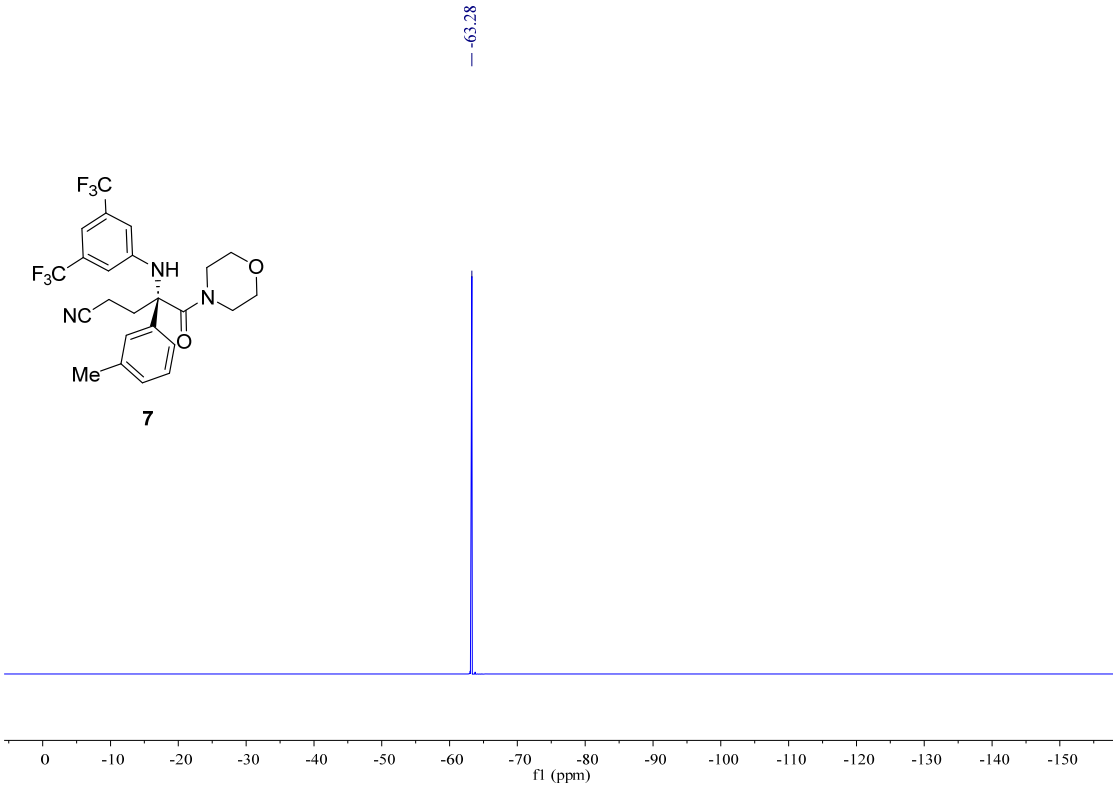
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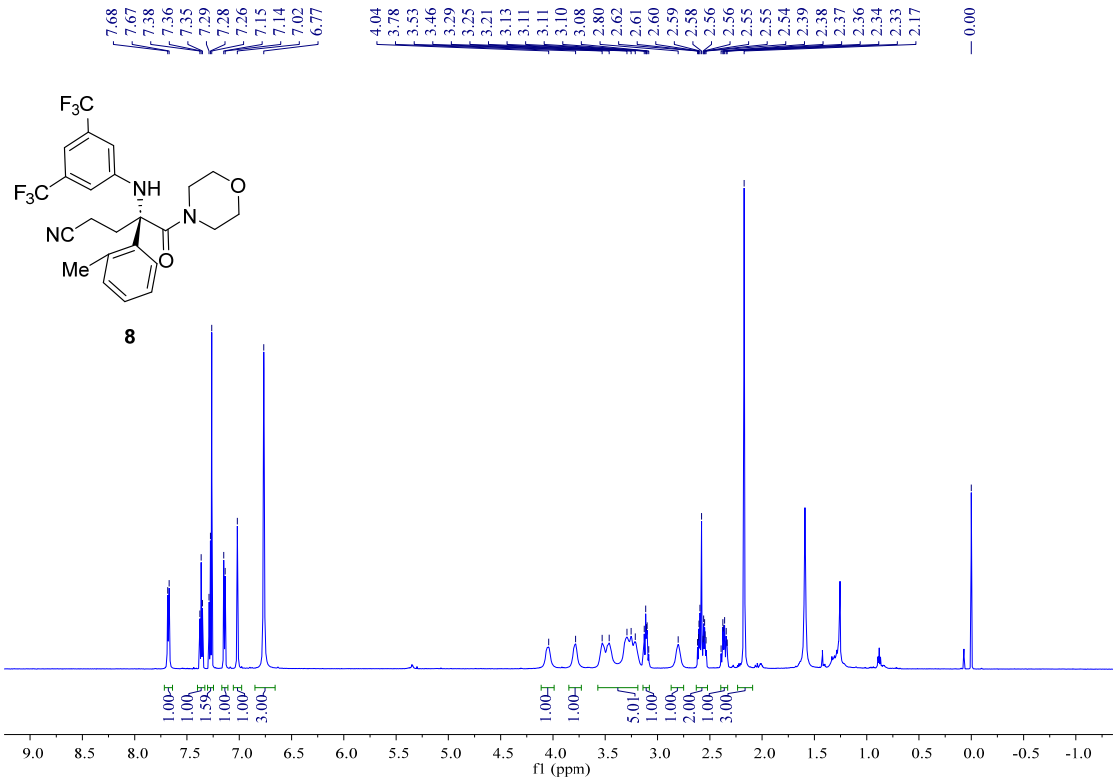
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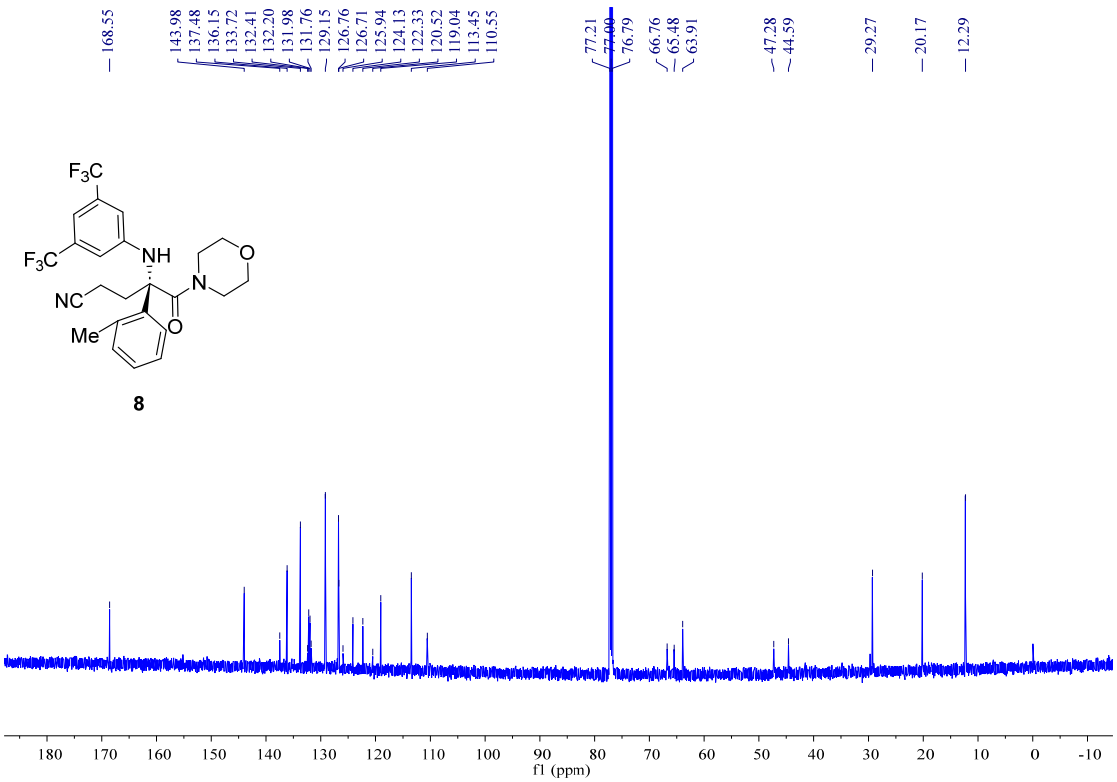
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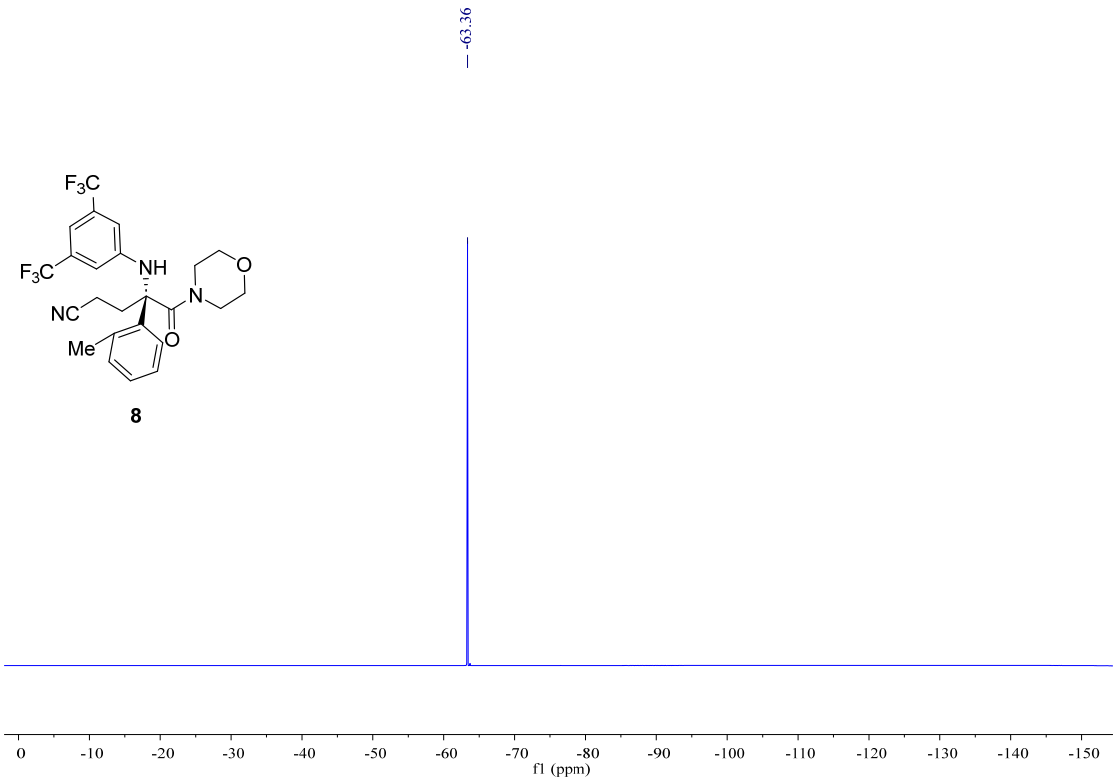
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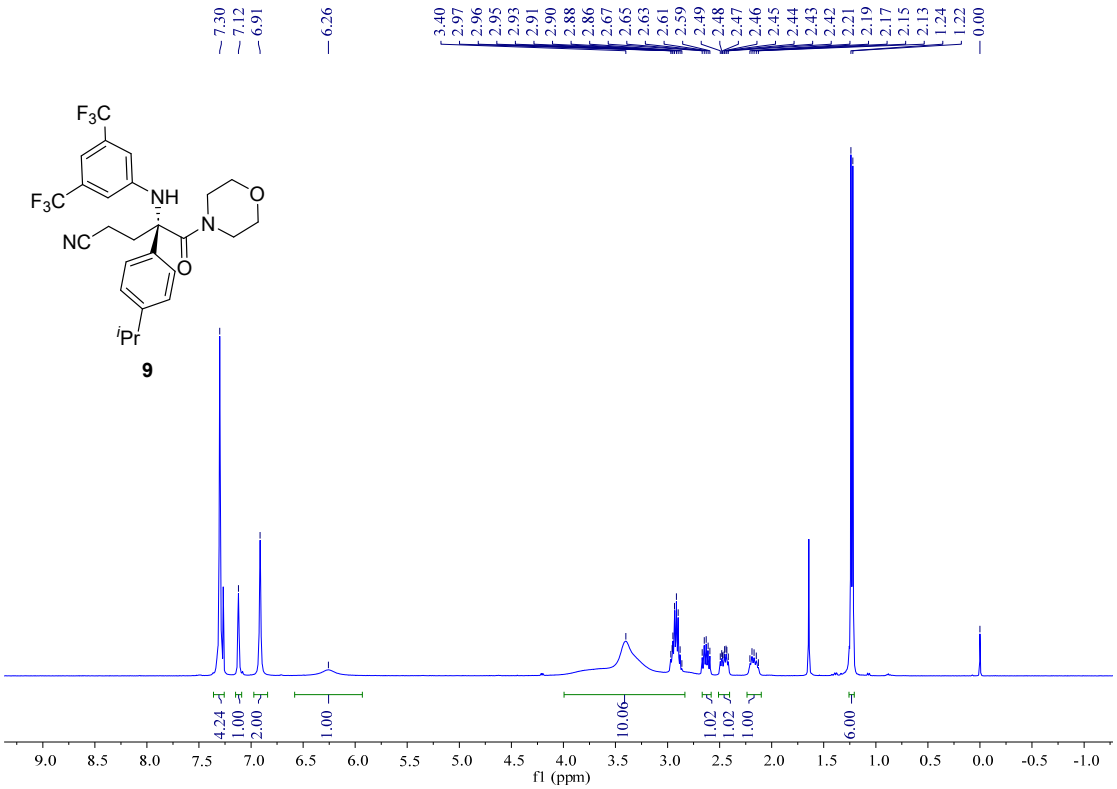
f1 (ppm)

8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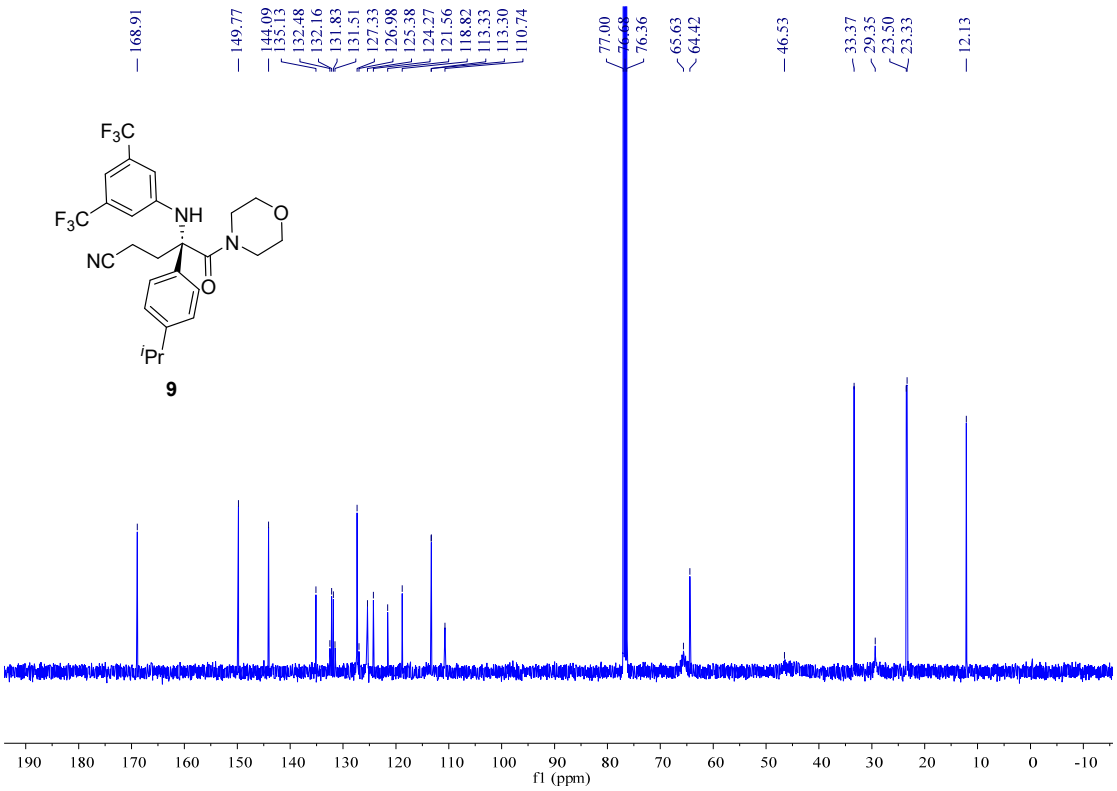
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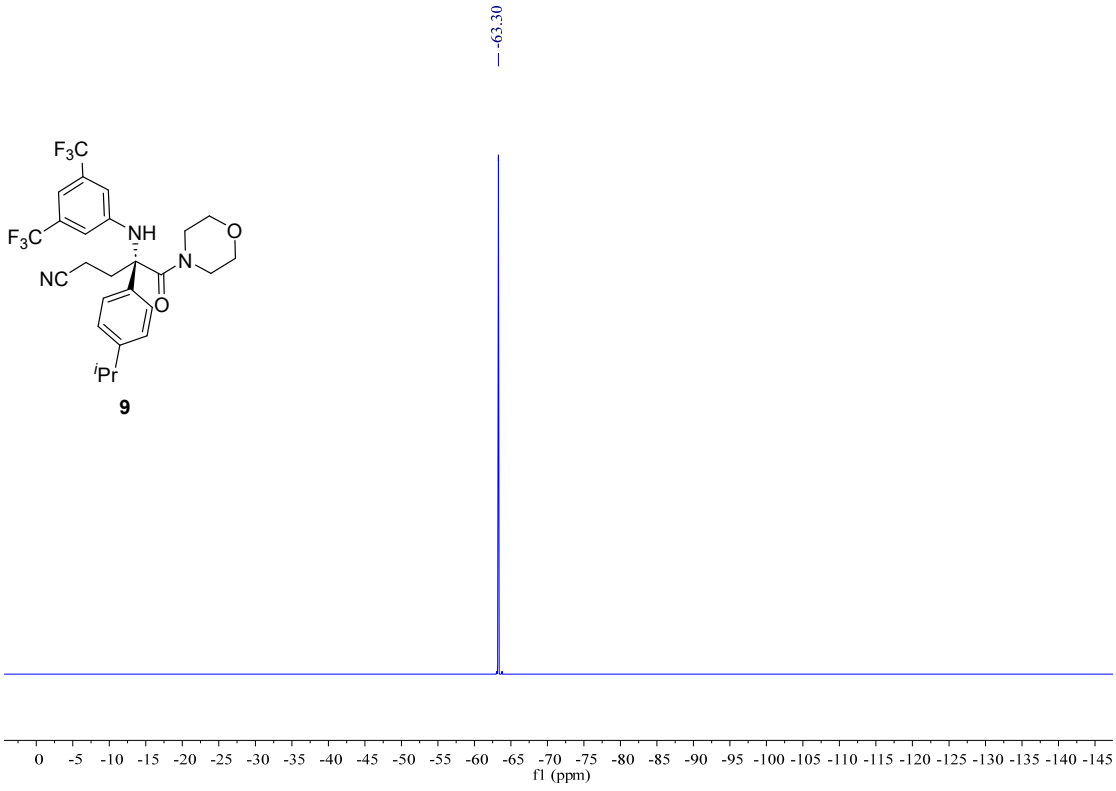
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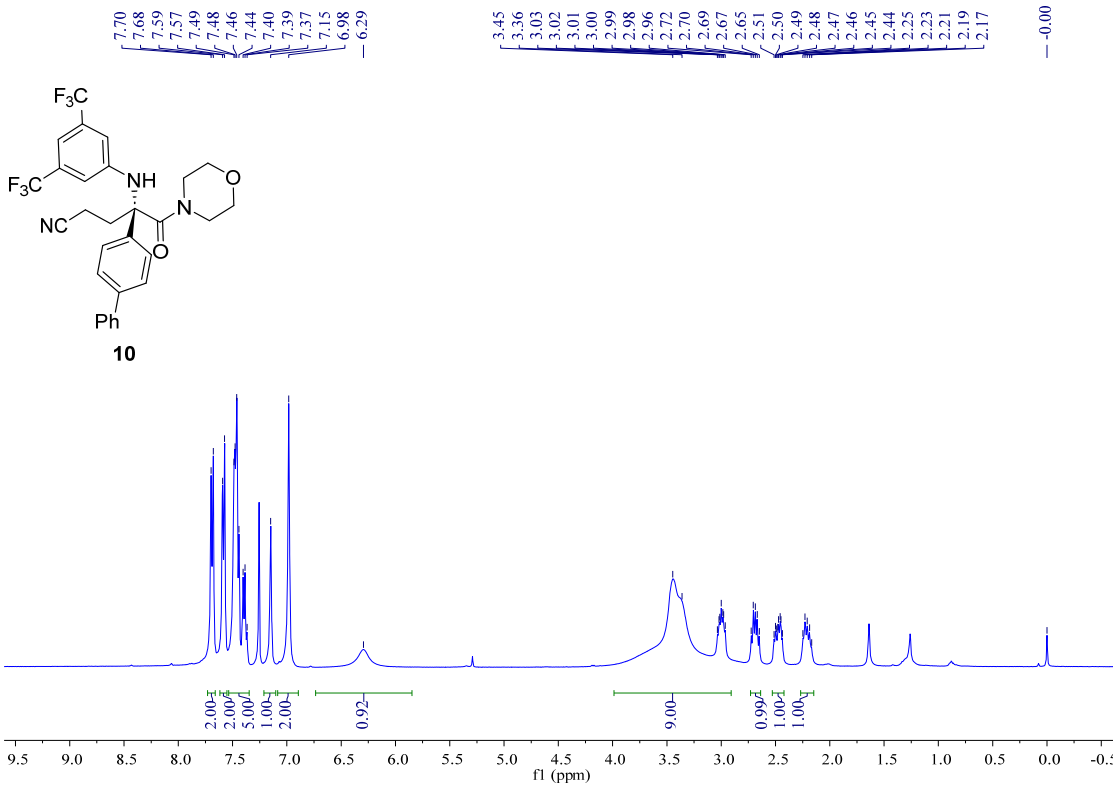
f1 (ppm)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3.03
3.02
3.01
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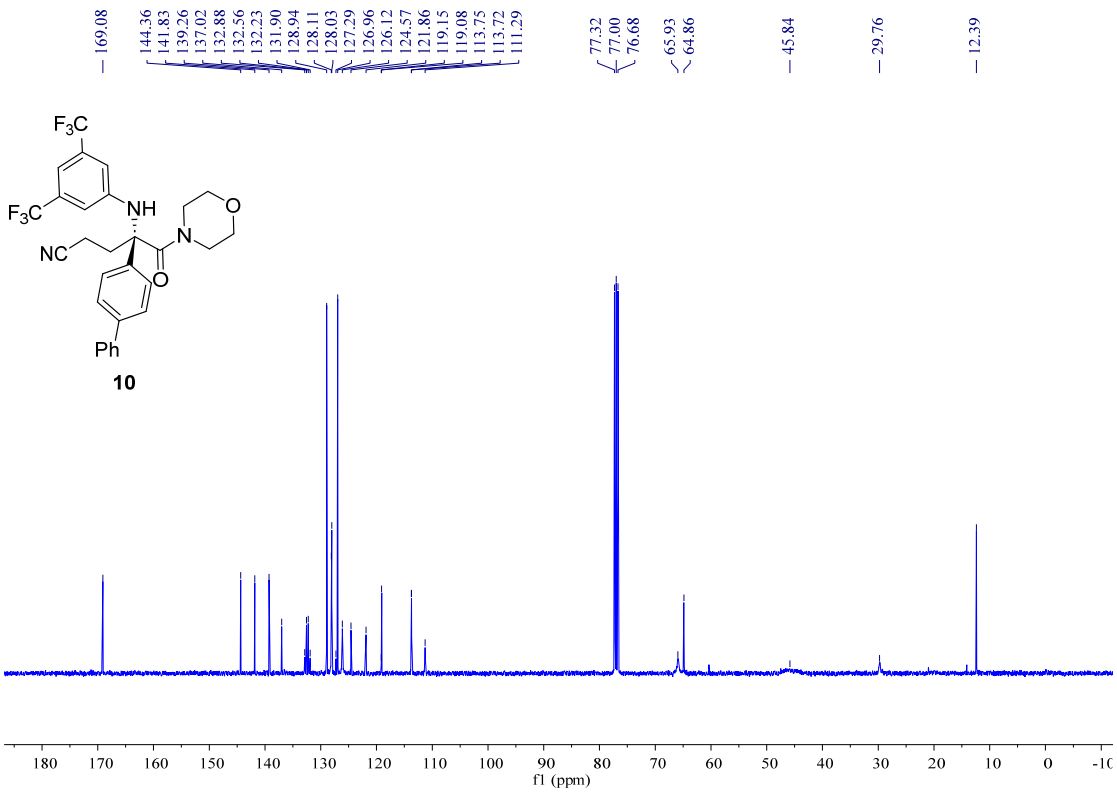
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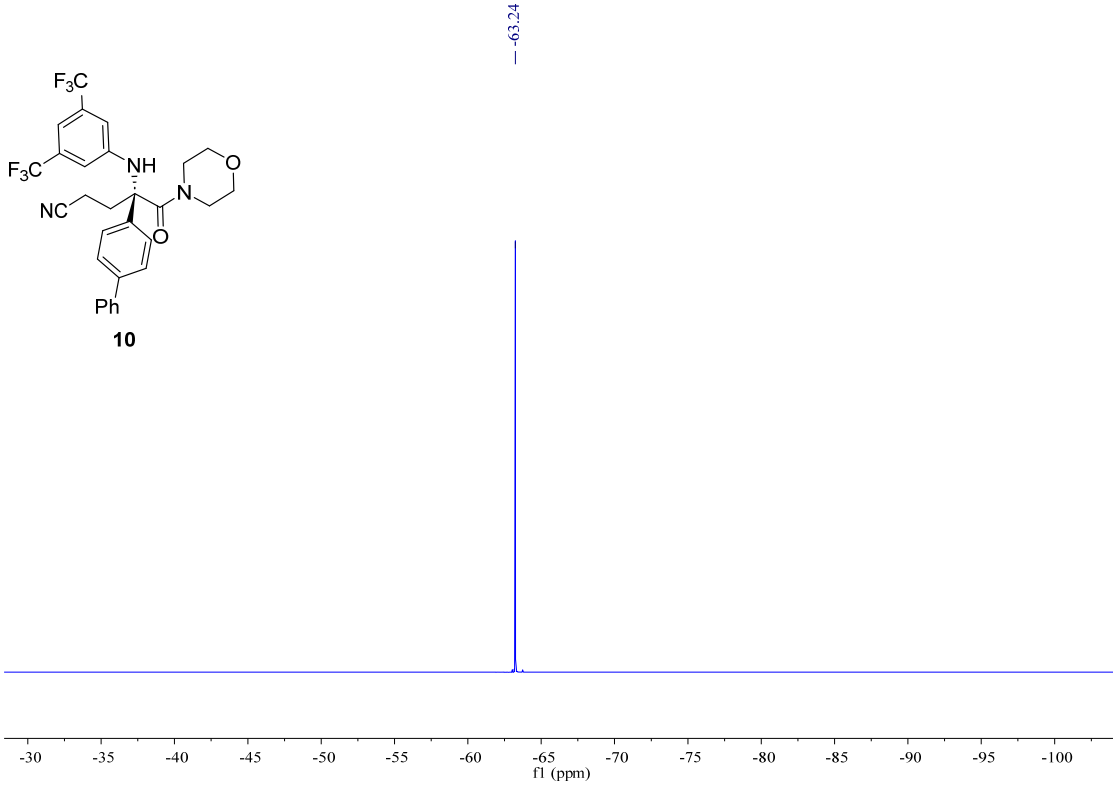
f1 (ppm)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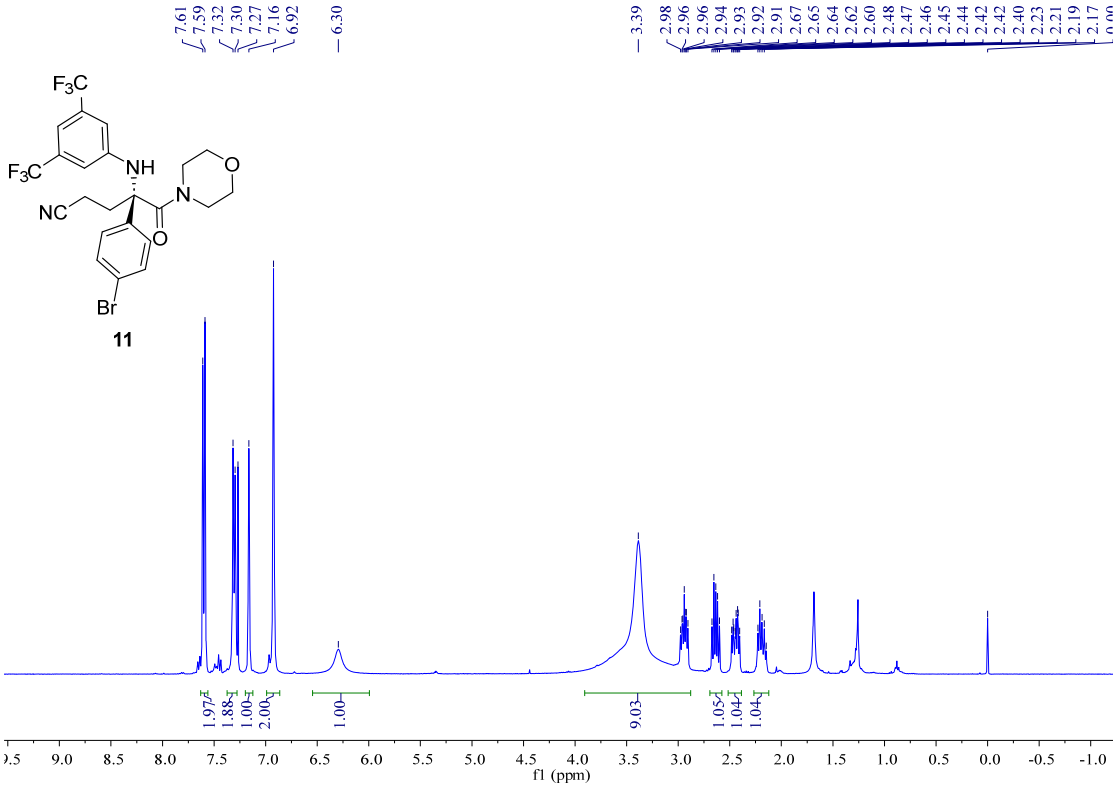
f1 (ppm)

10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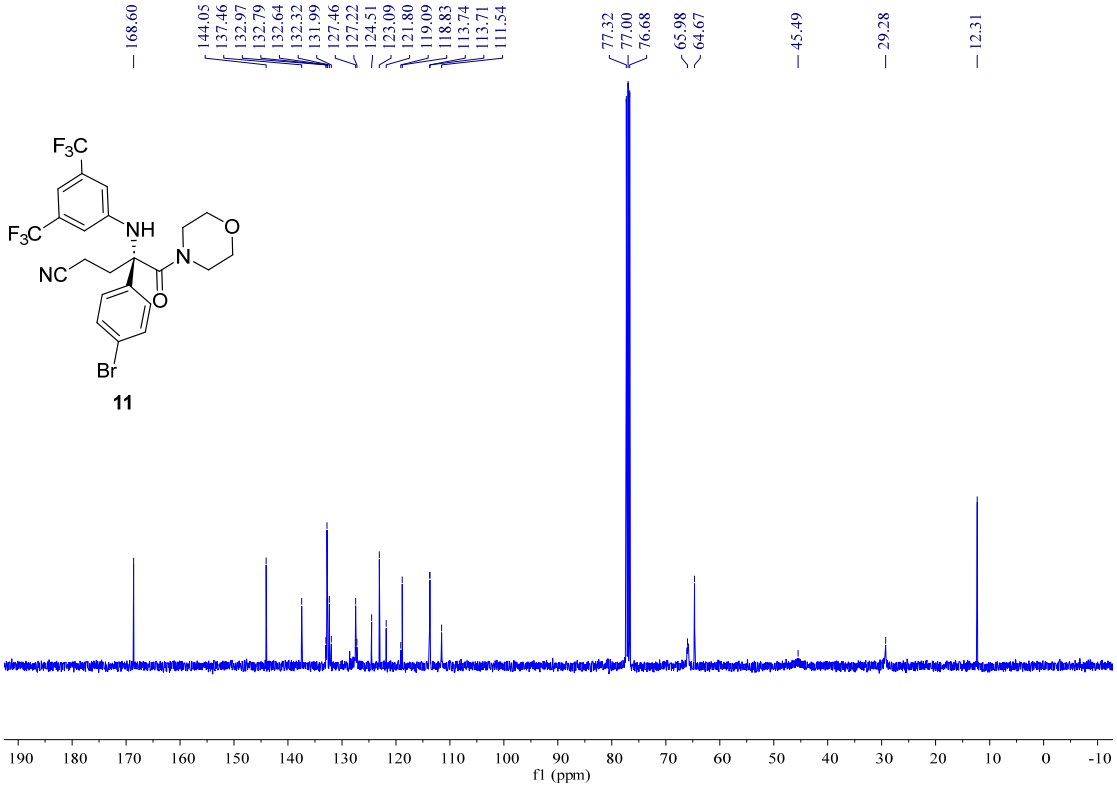
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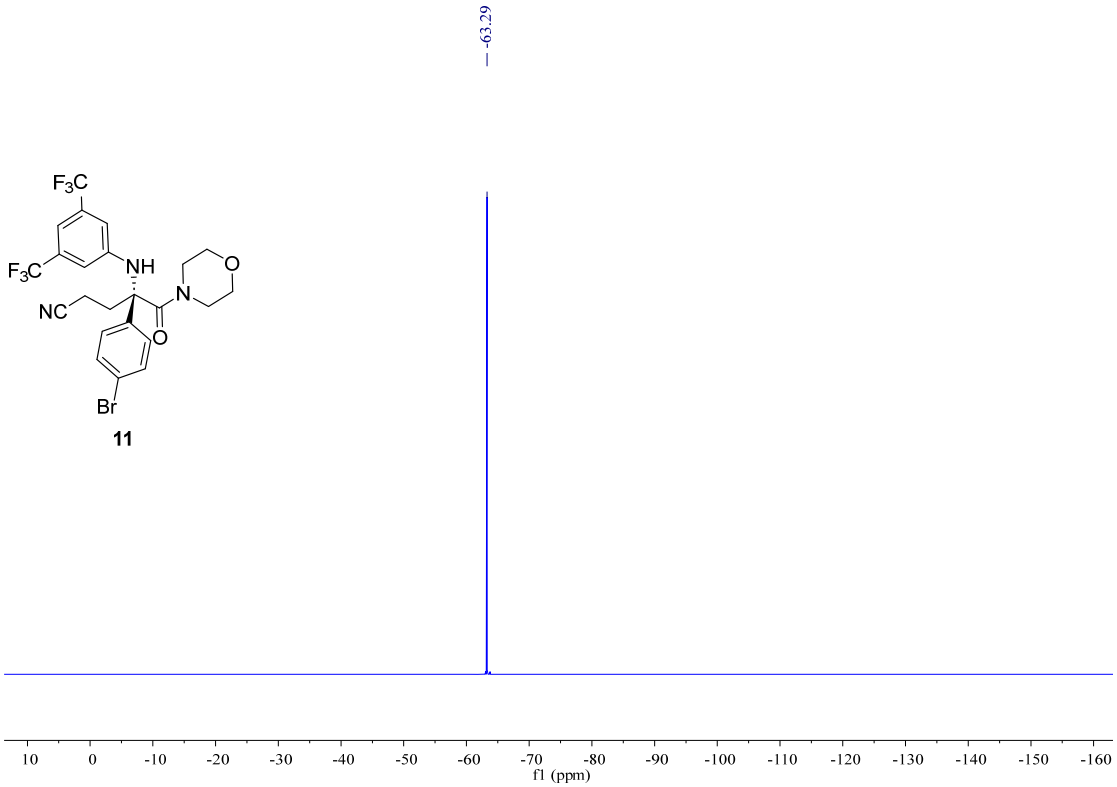
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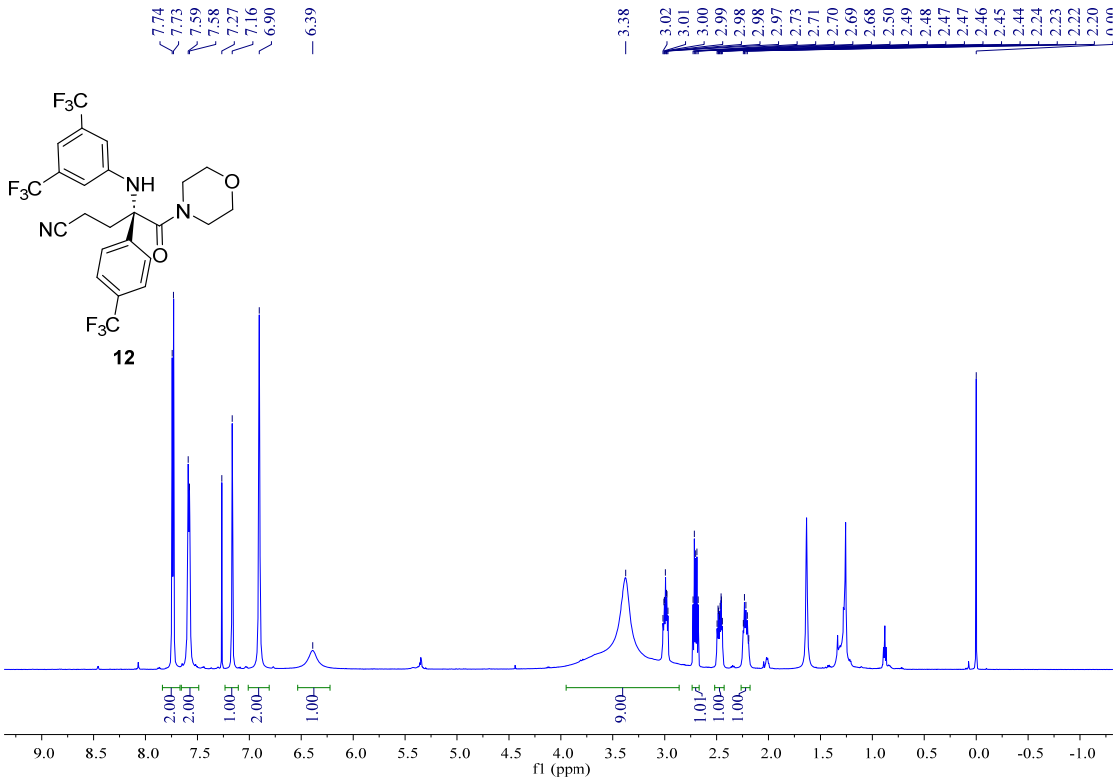
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2.45
2.44
2.24
2.23
2.22
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F3C
NH
O
N
NC
O
F3C
F3C
12
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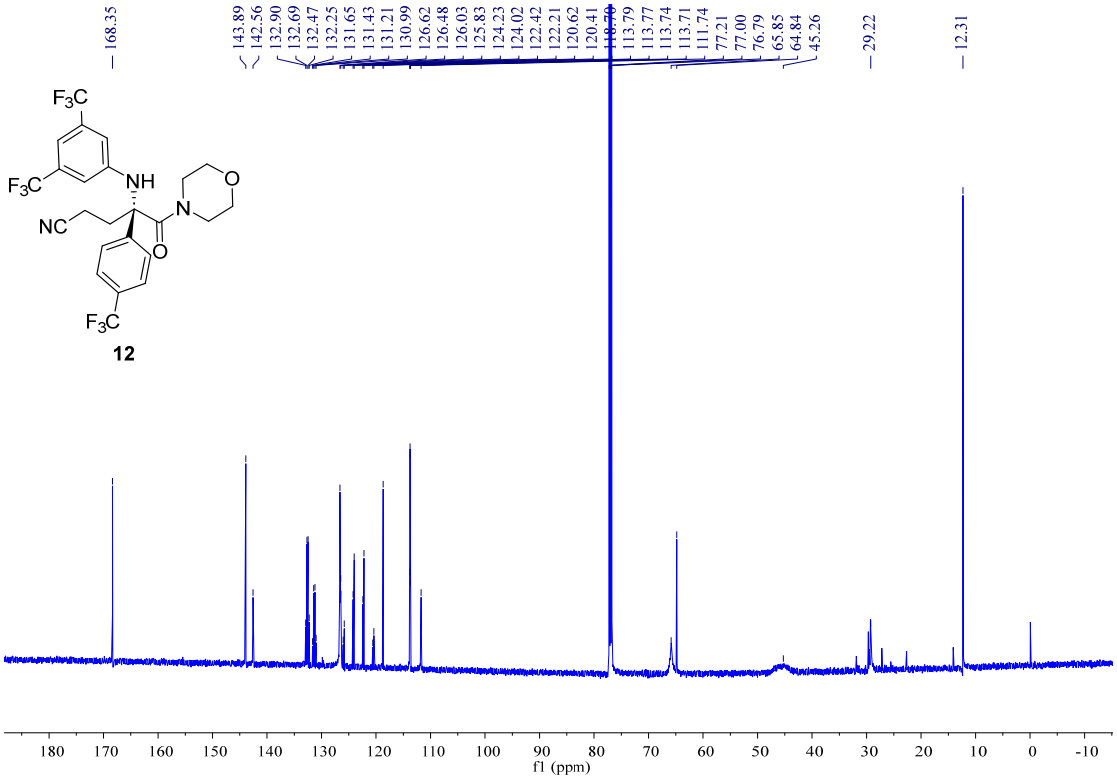
2.00
1.00
2.00
1.00
9.00
1.01
1.00
1.00
f1 (ppm)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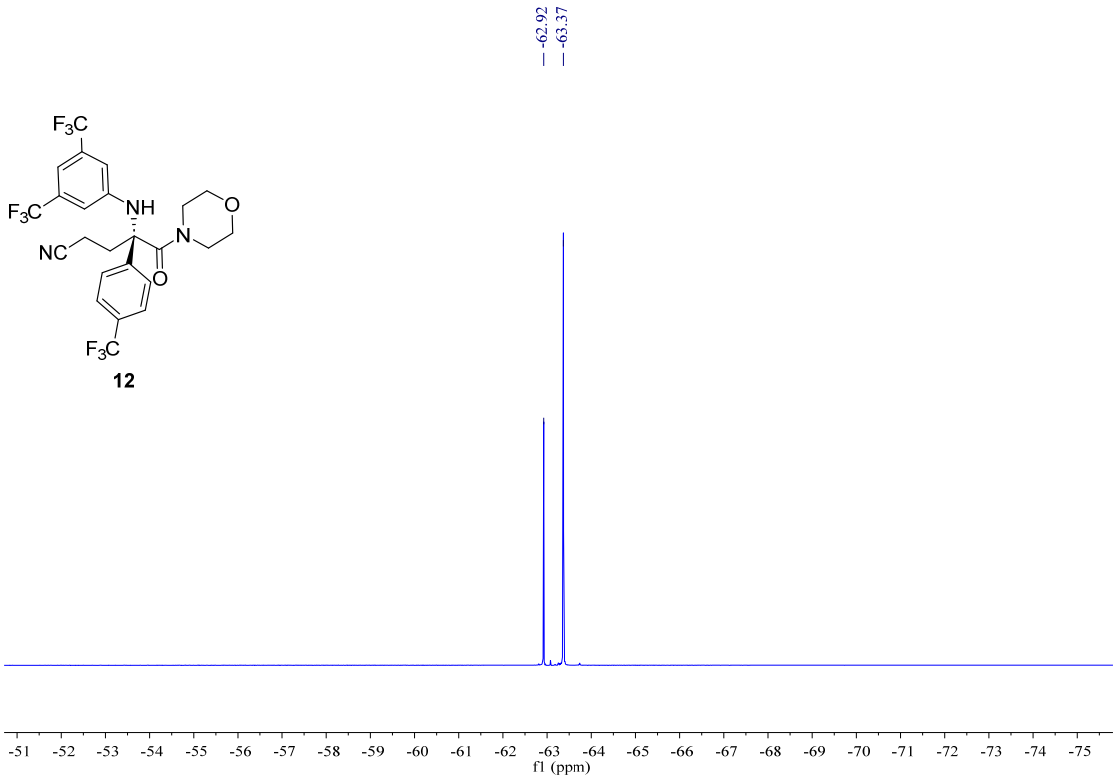
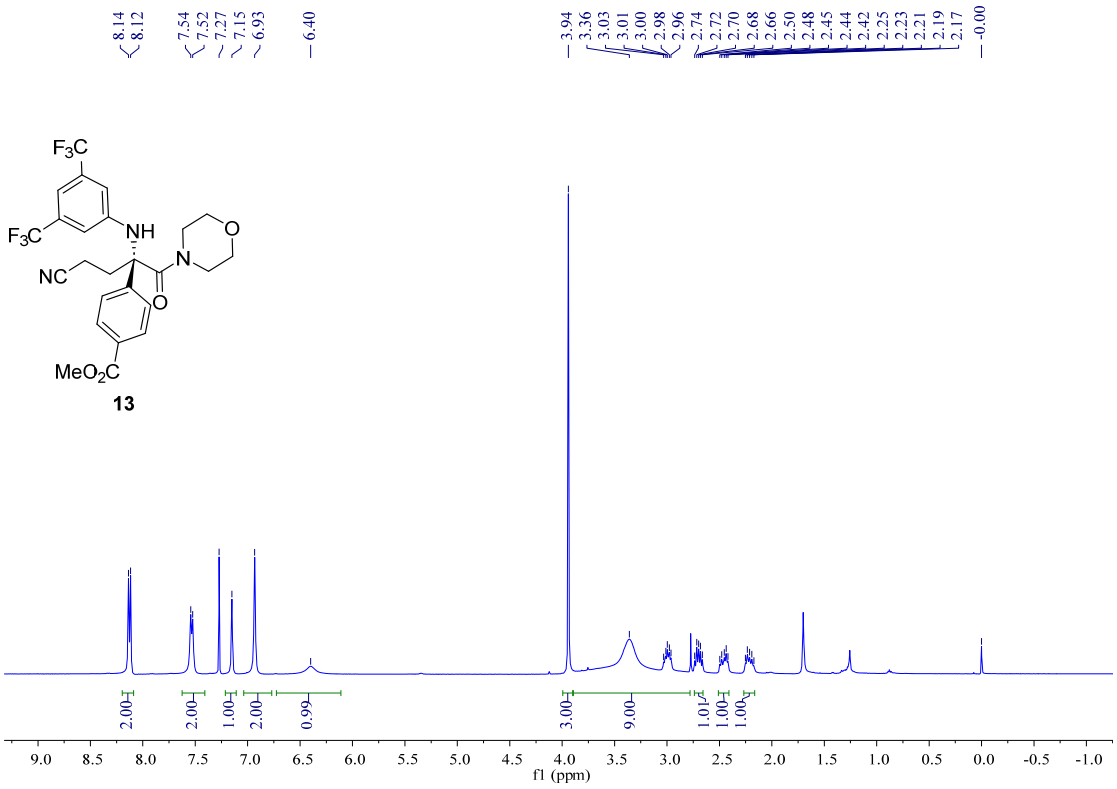
143.89
142.56
132.90
132.69
132.47
132.25
131.65
131.43
131.21
130.99
126.62
126.48
126.03
125.83
124.23
124.02
122.42
122.21
120.62
120.41
118.70
113.79
113.77
113.74
113.71
111.74
77.21
77.00
76.79
65.85
64.84
45.26
29.22
12.31
F3C
NH
O
N
NC
O
F3C
12
f1 (ppm)


-62.92
-63.37
F3C
F3C
NH
O
N
NC
O
F3C
12
-51 -52 -53 -54 -55 -56 -57 -58 -59 -60 -61 -62 -63 -64 -65 -66 -67 -68 -69 -70 -71 -72 -73 -74 -75
f1 (ppm)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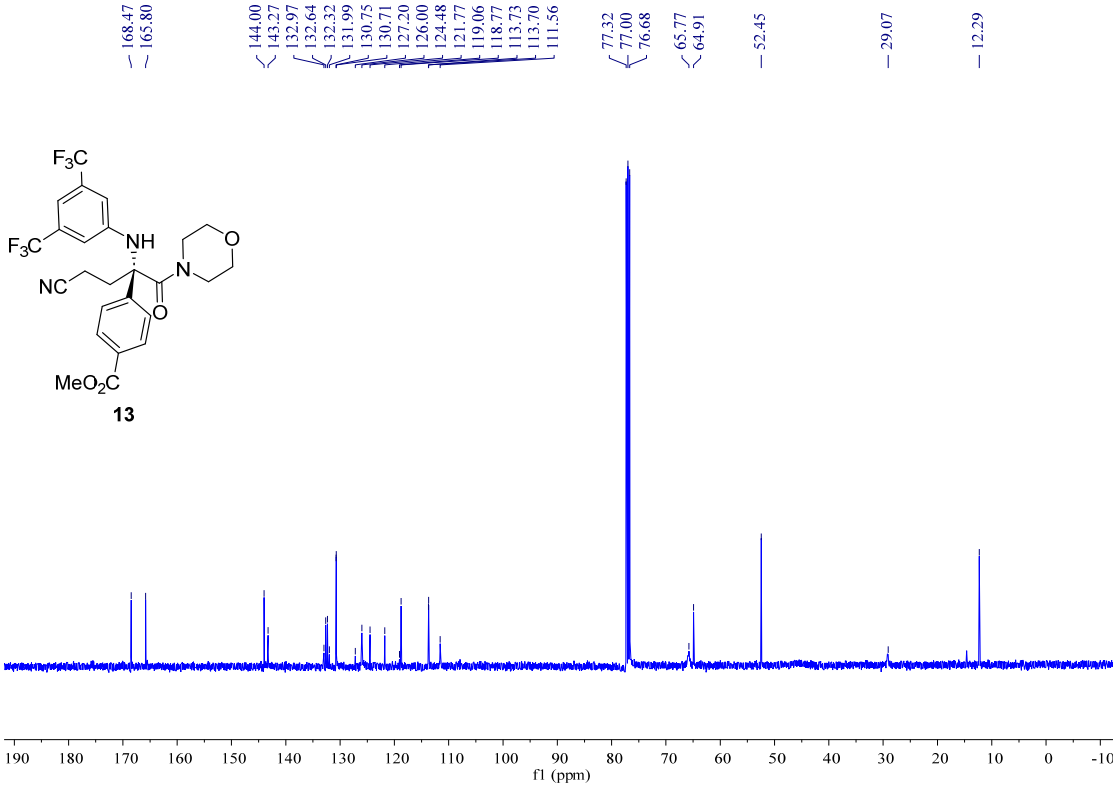
8.12
7.54
7.52
7.27
7.15
6.93
6.40
3.94
3.36
3.03
3.01
3.00
2.98
2.96
2.74
2.72
2.70
2.68
2.66
2.50
2.48
2.45
2.44
2.42
2.25
2.23
2.21
2.19
2.17
-0.00
F3C
F3C
NH
NC
O
N
O
MeO2C
13
2.00
2.00
1.00
2.00
0.99
3.00
9.00
1.01
1.00
1.00
f1 (ppm)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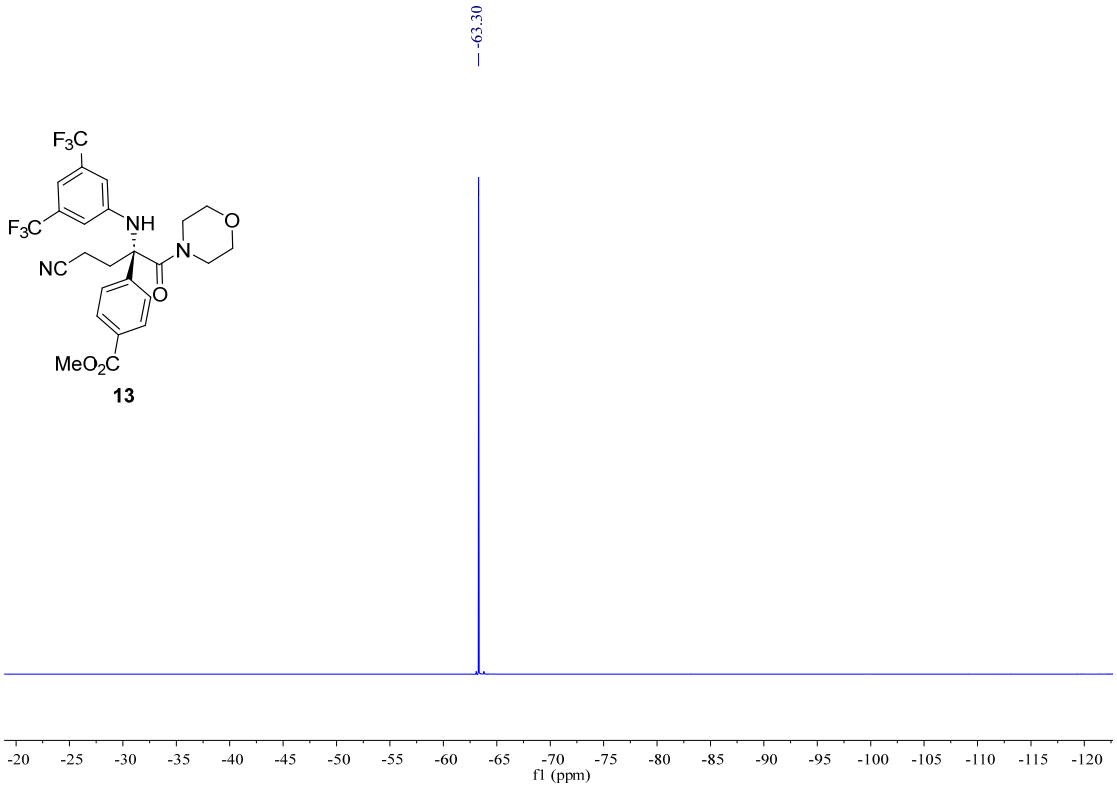
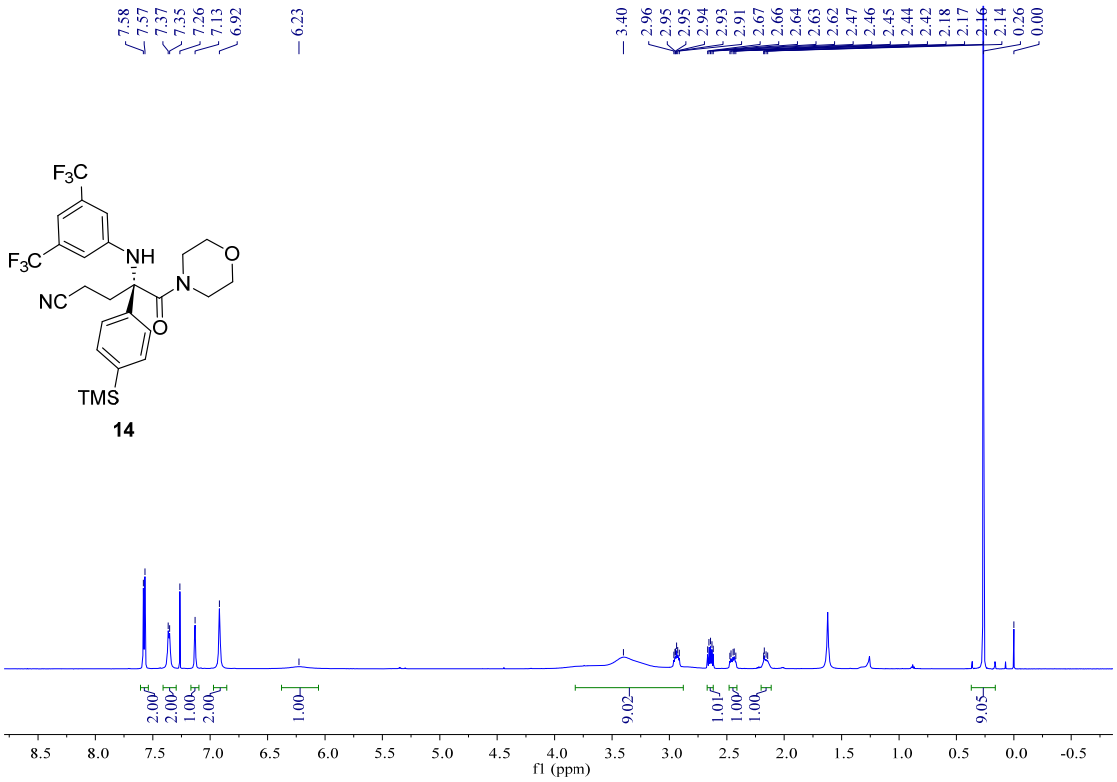
132.64
132.32
131.99
130.75
130.71
127.20
126.00
124.48
121.77
119.06
118.77
113.73
113.70
111.56
77.32
77.00
76.68
65.77
64.91
52.45
29.07
12.29
F3C
F3C
NH
NC
O
N
O
MeO2C
13
f1 (ppm)


-63.30
F3C
F3C
NH
O
N
NC
O
MeO2C
13
-20 -25 -30 -35 -40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120
f1 (ppm)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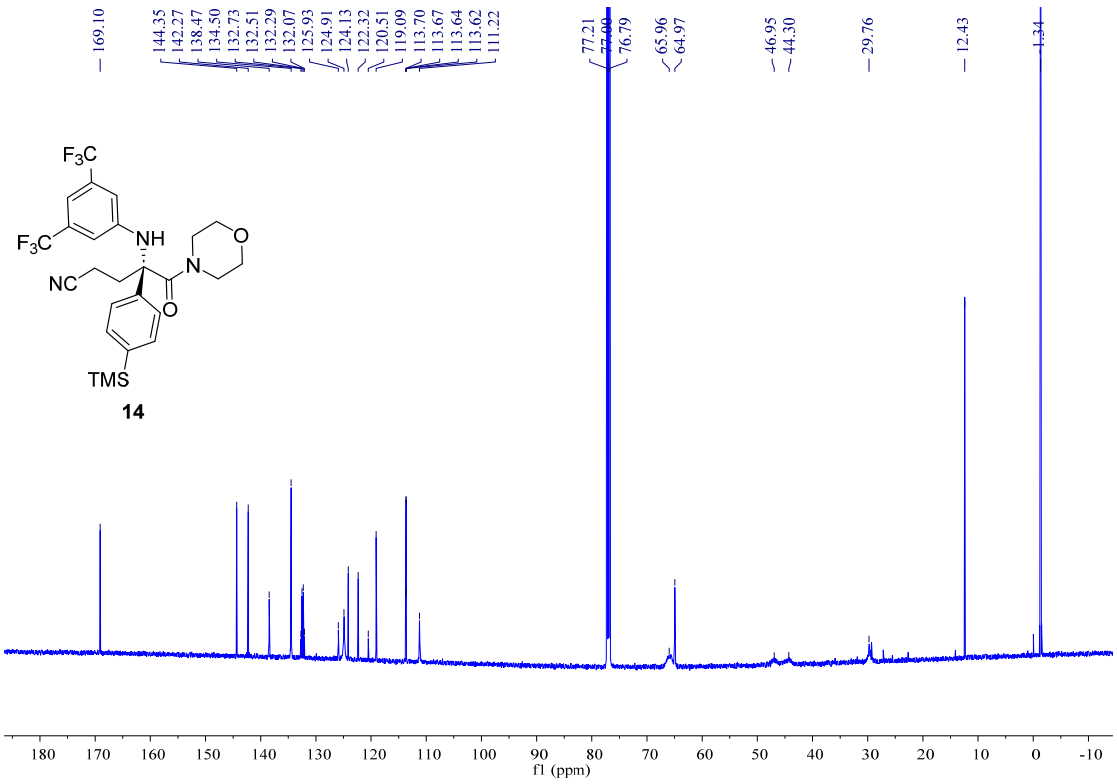
7.57
7.37
7.35
7.26
7.13
6.92
6.23
3.40
2.96
2.95
2.95
2.94
2.93
2.91
2.67
2.66
2.64
2.63
2.62
2.47
2.46
2.45
2.44
2.42
2.18
2.17
2.16
2.14
0.26
0.00
F3C
F3C
NH
O
N
NC
O
TMS
14
2.00
2.00
1.00
2.00
1.00
9.02
1.01
1.00
1.00
9.05
f1 (ppm)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142.27
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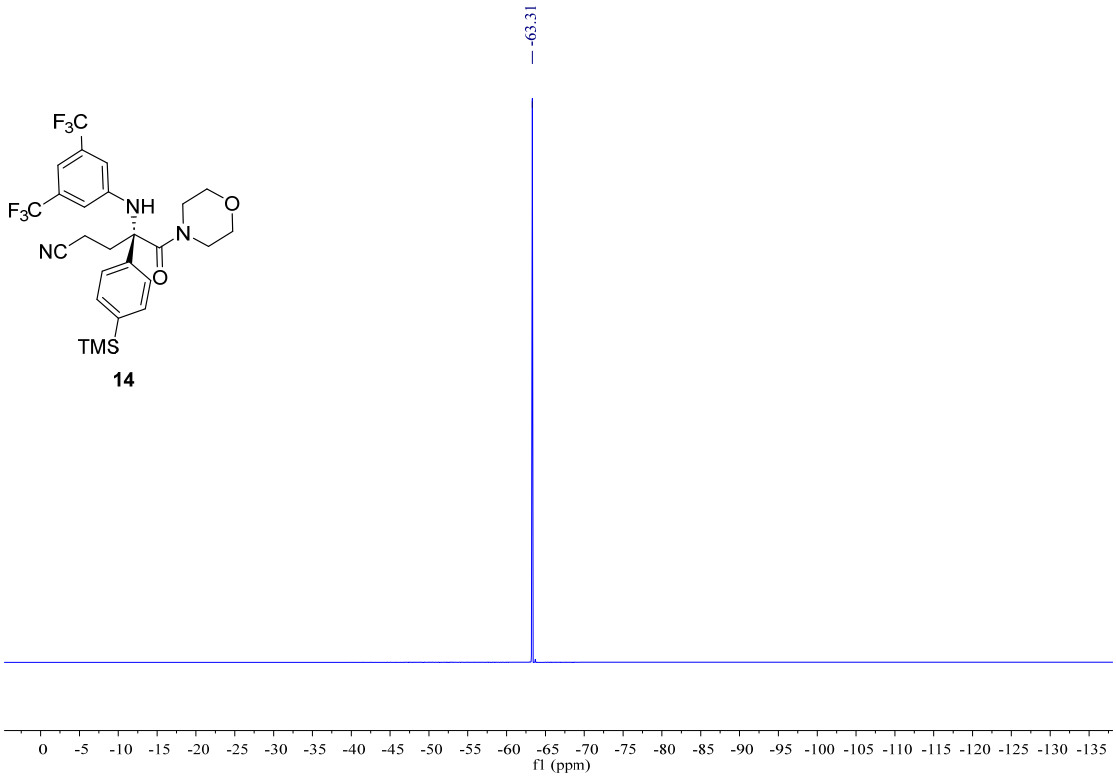
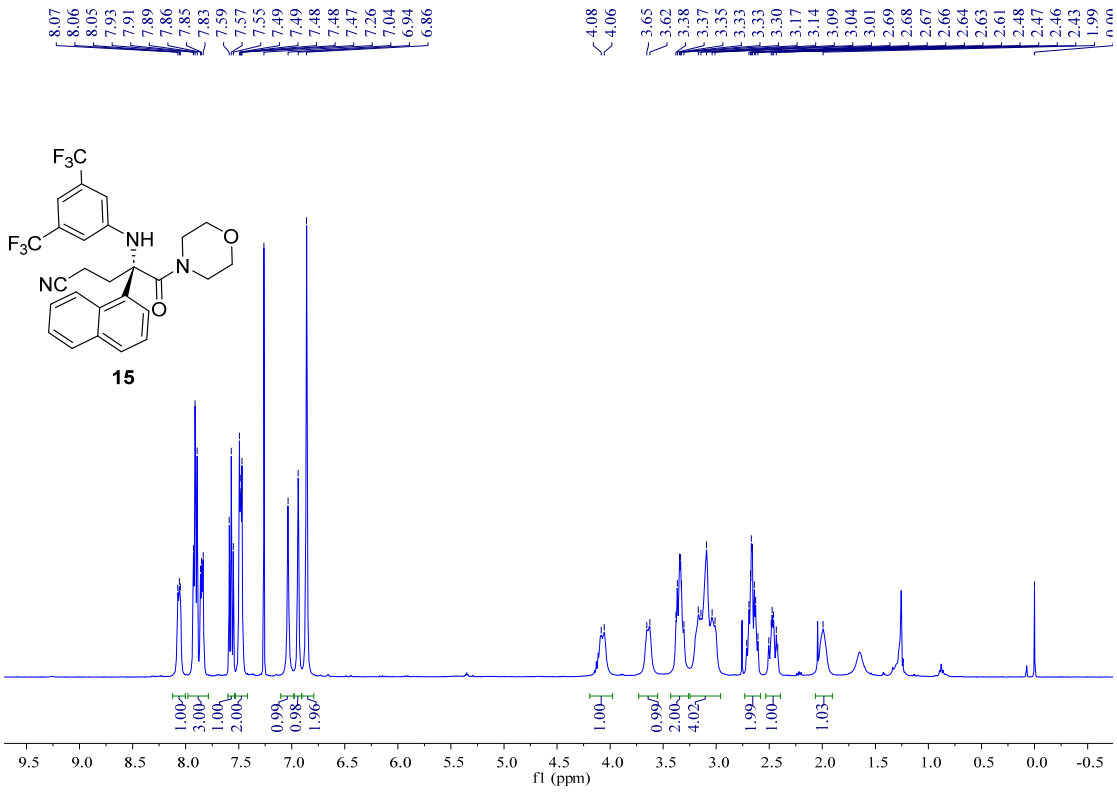
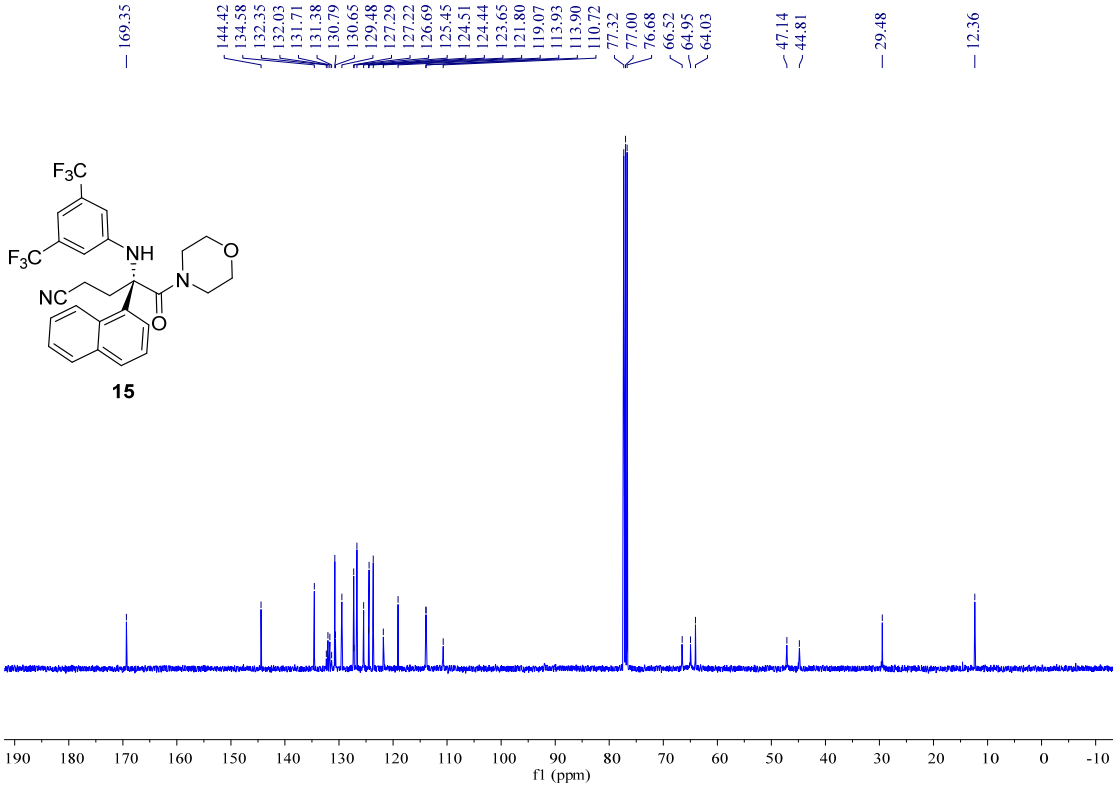
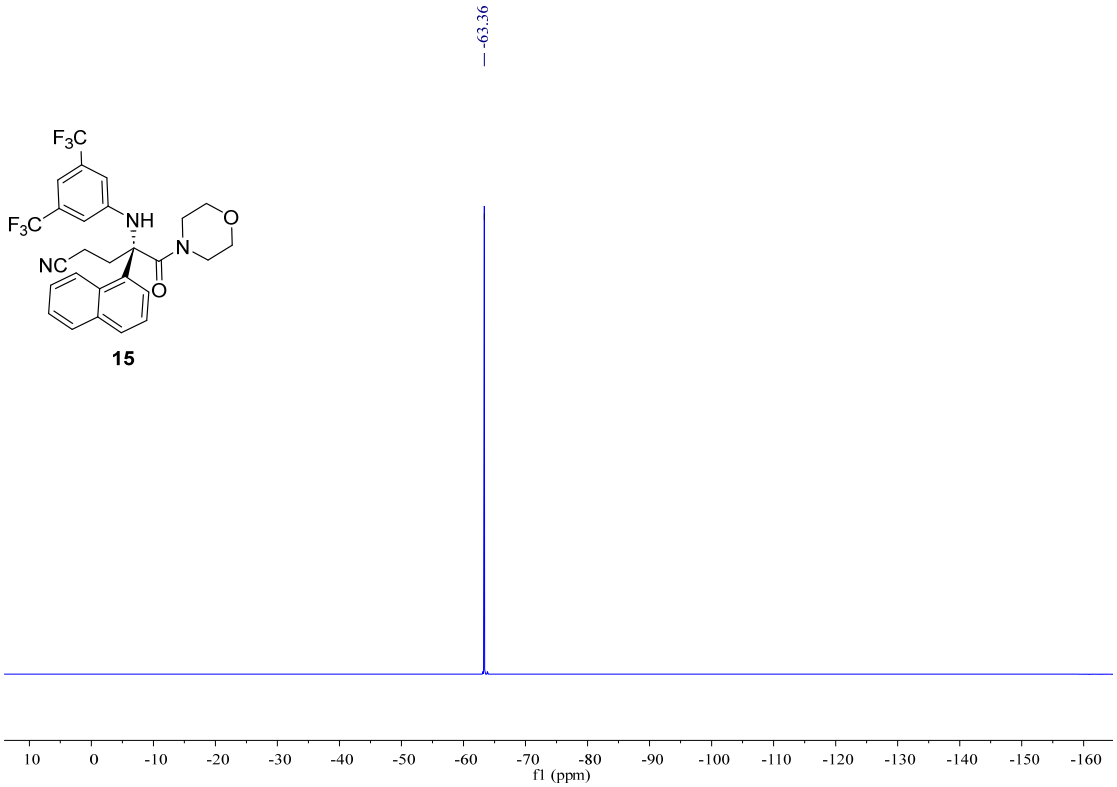
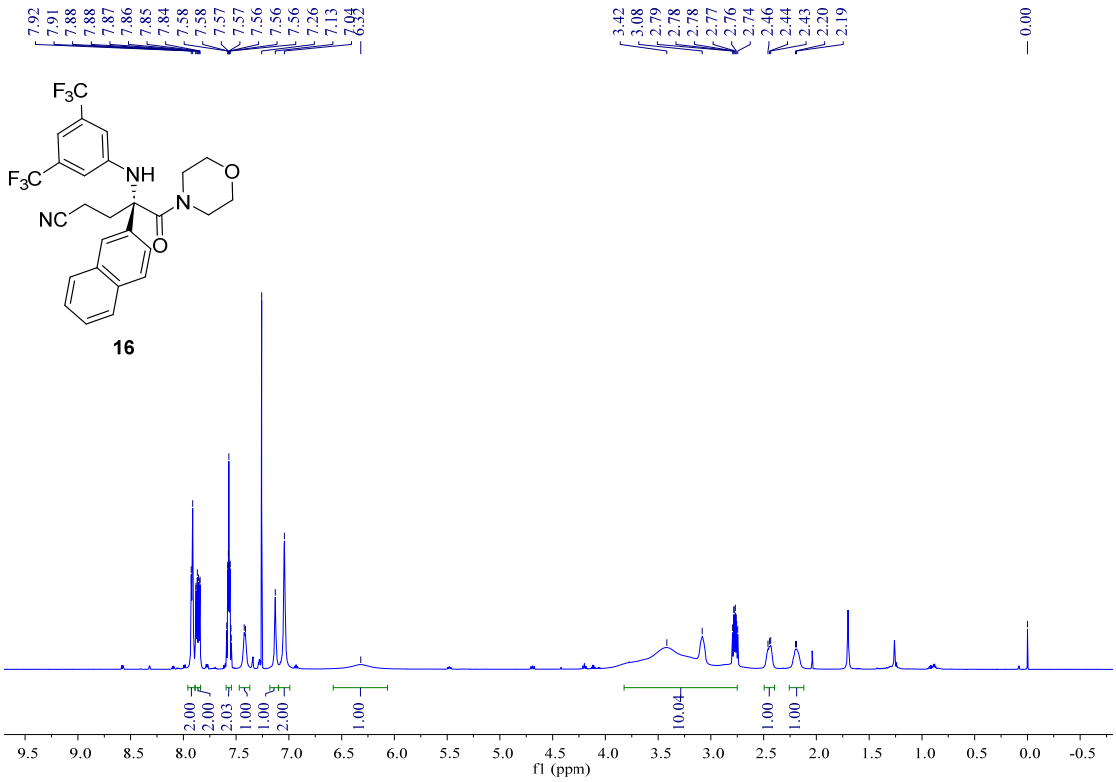
134.50
132.73
132.51
132.29
132.07
125.93
124.91
124.13
122.32
120.51
119.09
113.70
113.67
113.64
113.62
111.22
77.21
77.00
76.79
65.96
64.97
46.95
44.30
29.76
12.43
1.34
F3C
F3C
NH
O
N
NC
O
TMS
14
f1 (ppm)


-63.31
F3C
F3C
NH
O
N
NC
O
TMS
14
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
f1 (ppm)

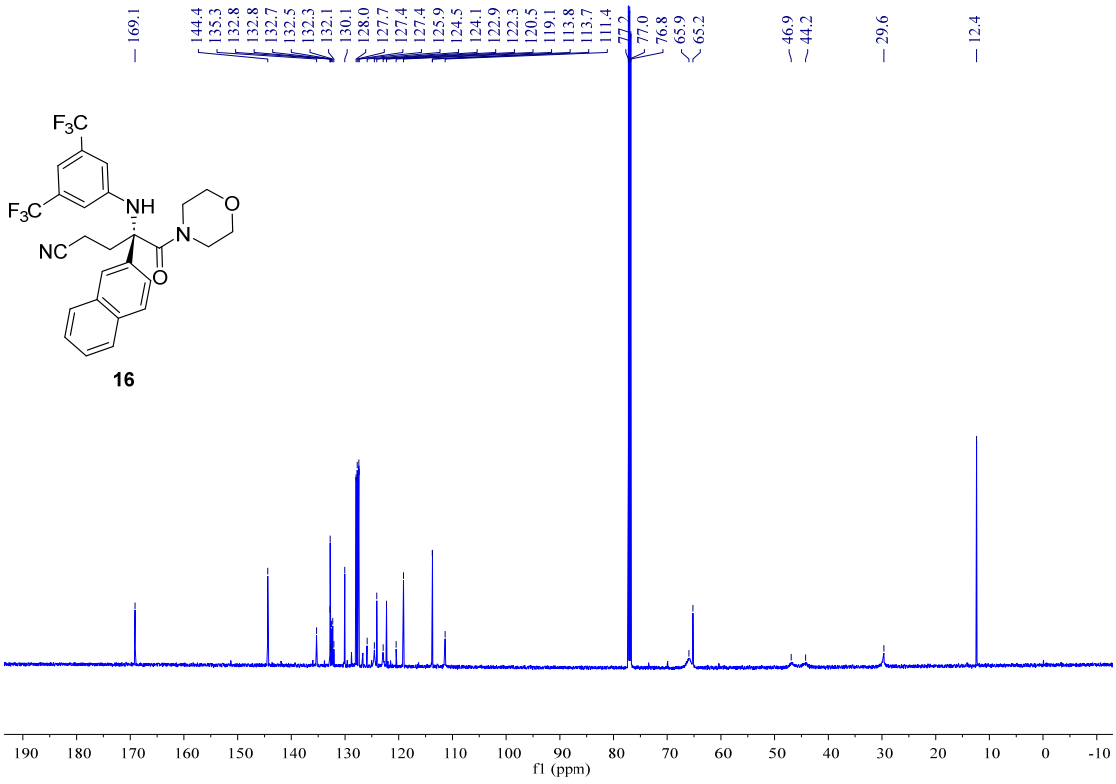

8.07
8.06
8.05
7.93
7.91
7.89
7.86
7.85
7.83
7.59
7.57
7.55
7.49
7.49
7.48
7.48
7.47
7.26
7.04
6.94
6.86
4.08
4.06
3.65
3.62
3.38
3.37
3.35
3.33
3.33
3.30
3.17
3.14
3.09
3.04
3.01
2.69
2.68
2.67
2.66
2.64
2.63
2.61
2.48
2.47
2.46
2.43
1.99
F3C
F3C
NH
O
N
NC
O
15
1.00
3.00
1.00
2.00
0.99
0.98
1.96
1.00
0.99
2.00
4.02
1.99
1.00
1.03
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)

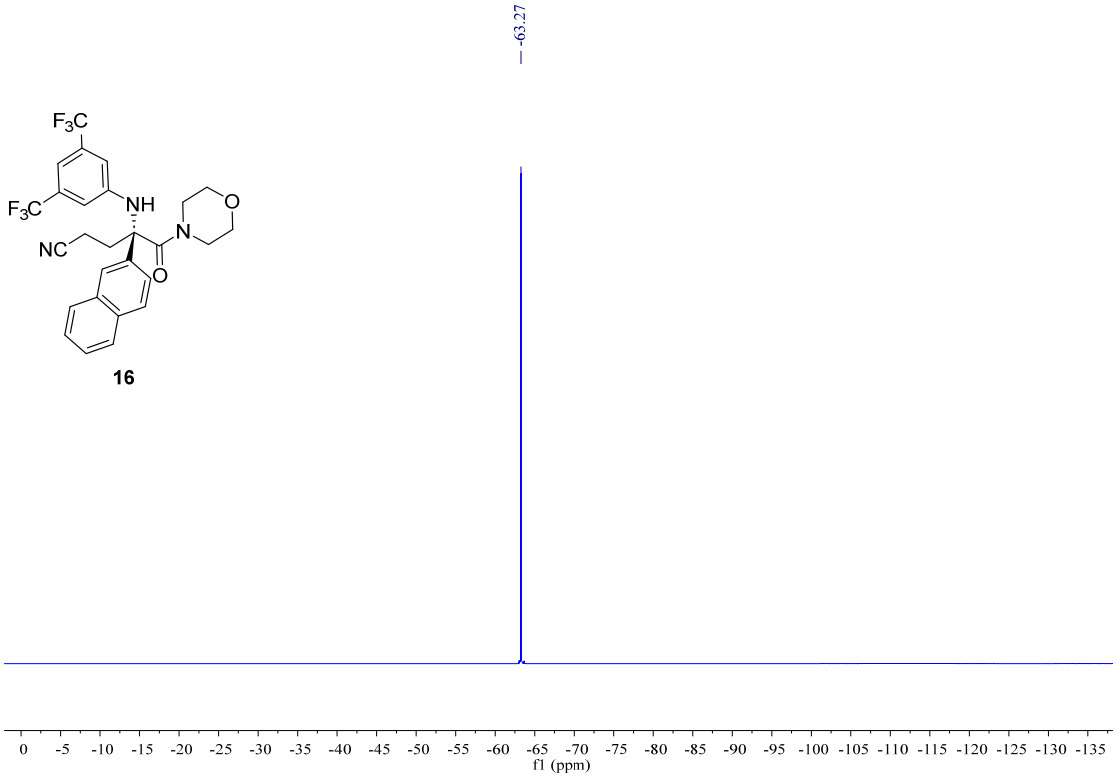

169.35
144.42
134.58
132.35
132.03
131.71
131.38
130.79
130.65
129.48
127.29
127.22
126.69
125.45
124.51
124.44
123.65
121.80
119.07
113.93
113.90
110.72
77.32
77.00
76.68
66.52
64.95
64.03
47.14
44.81
29.48
12.36
F3C
F3C
NH
O
N
NC
O
15
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

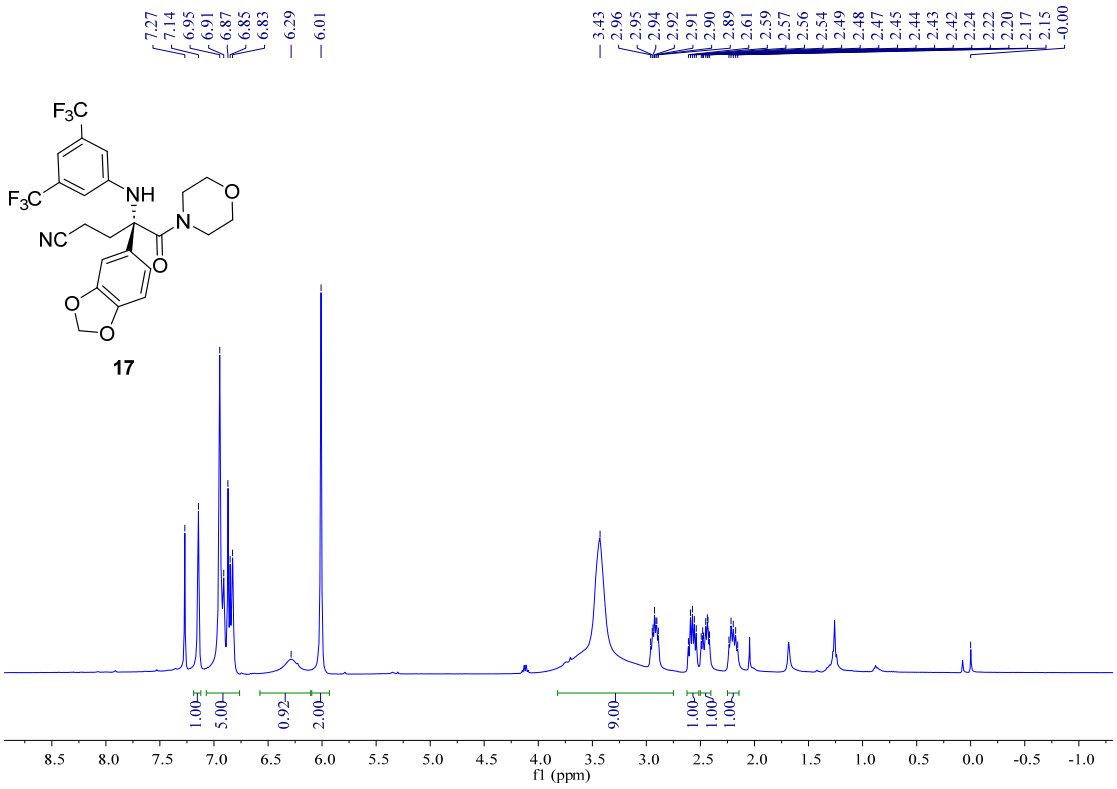

-63.36
F3C
F3C
NH
O
N
NC
O
15
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

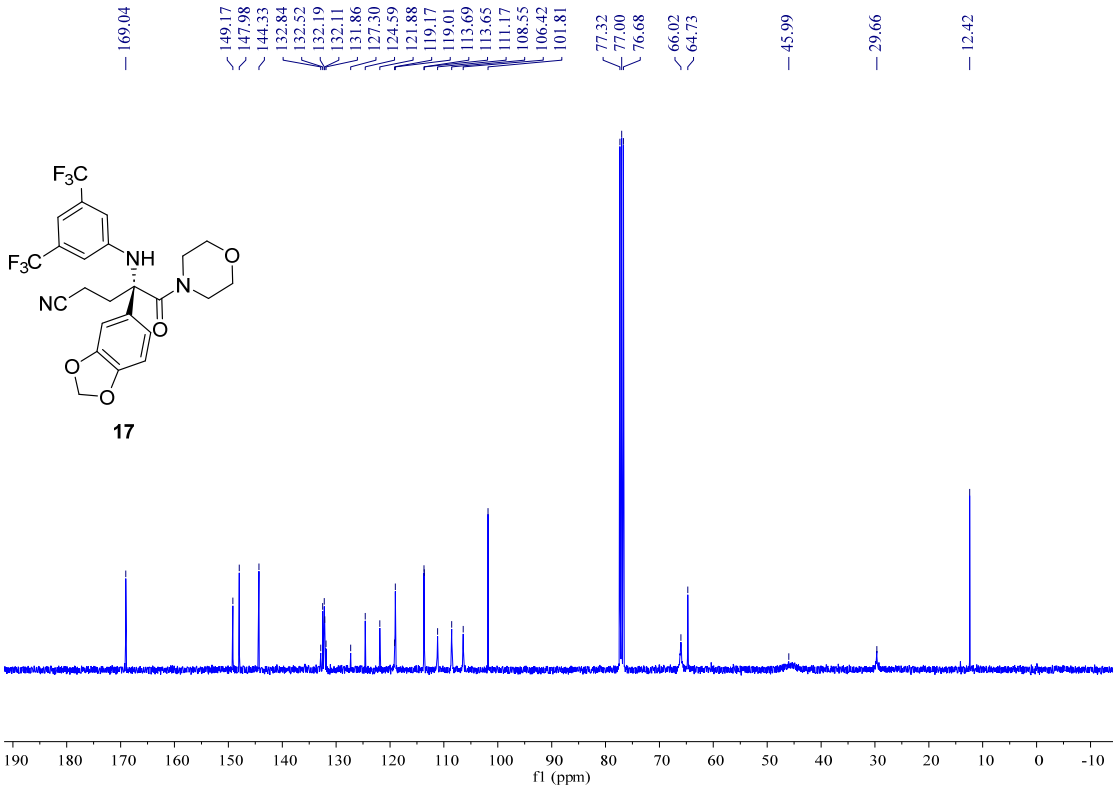

7.92
7.91
7.88
7.88
7.87
7.86
7.85
7.84
7.58
7.58
7.57
7.57
7.56
7.56
7.56
7.26
7.13
7.04
6.32
3.42
3.08
2.79
2.78
2.78
2.77
2.76
2.74
2.46
2.44
2.43
2.20
2.19
0.00
F3C
F3C
NH
O
N
NC
O
16
2.00
2.00
2.03
1.00
1.00
2.00
1.00
10.04
1.00
1.00
f1 (ppm)

i


169.1
144.4
135.3
132.8
132.8
132.7
132.5
132.3
132.1
130.1
128.0
127.7
127.4
127.4
125.9
124.5
124.1
122.9
122.3
120.5
119.1
113.8
113.7
111.4
77.2
77.0
76.8
65.9
65.2
46.9
44.2
29.6
12.4
F3C
F3C
NH
O
N
NC
O
16
f1 (ppm)


-63.27
F3C
F3C
NH
NC
O
N
O
16
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
f1 (ppm)


7.27
7.14
6.95
6.91
6.87
6.85
6.83
6.29
6.01
3.43
2.96
2.95
2.94
2.92
2.91
2.90
2.89
2.61
2.59
2.57
2.56
2.54
2.49
2.48
2.47
2.45
2.44
2.43
2.42
2.24
2.22
2.20
2.17
2.15
-0.00
F3C
F3C
NH
O
N
NC
O
O
O
O
17
1.00
5.00
0.92
2.00
9.00
1.00
1.00
1.00
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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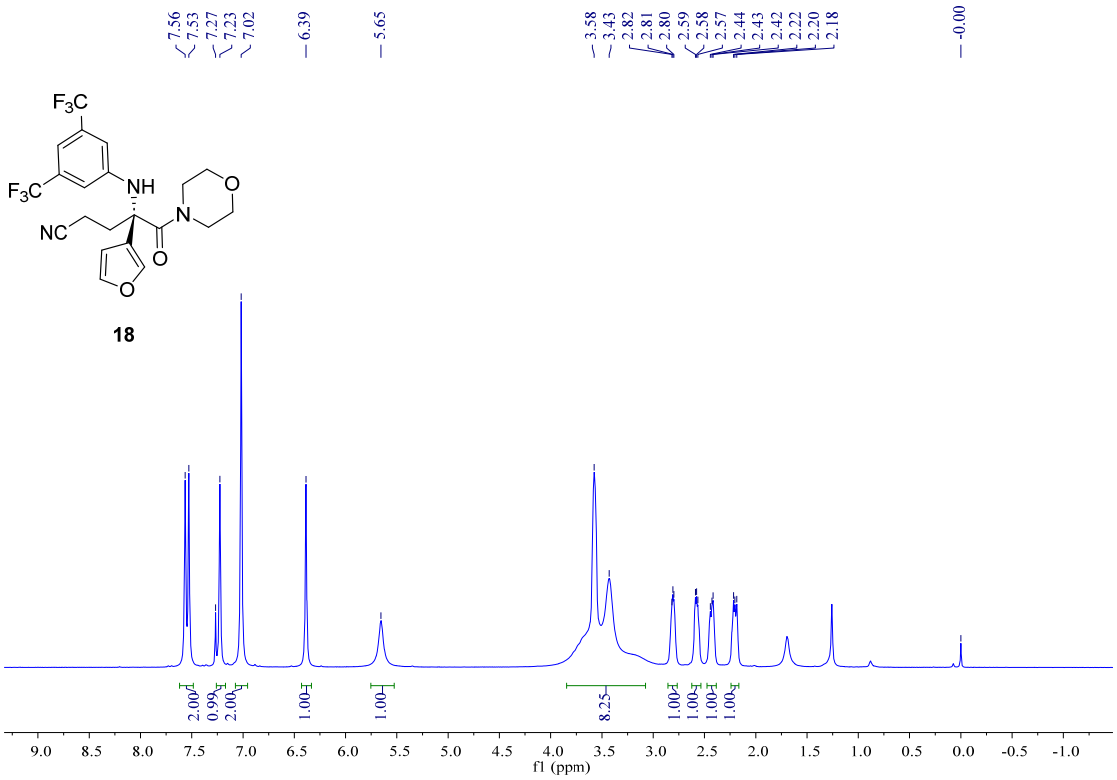
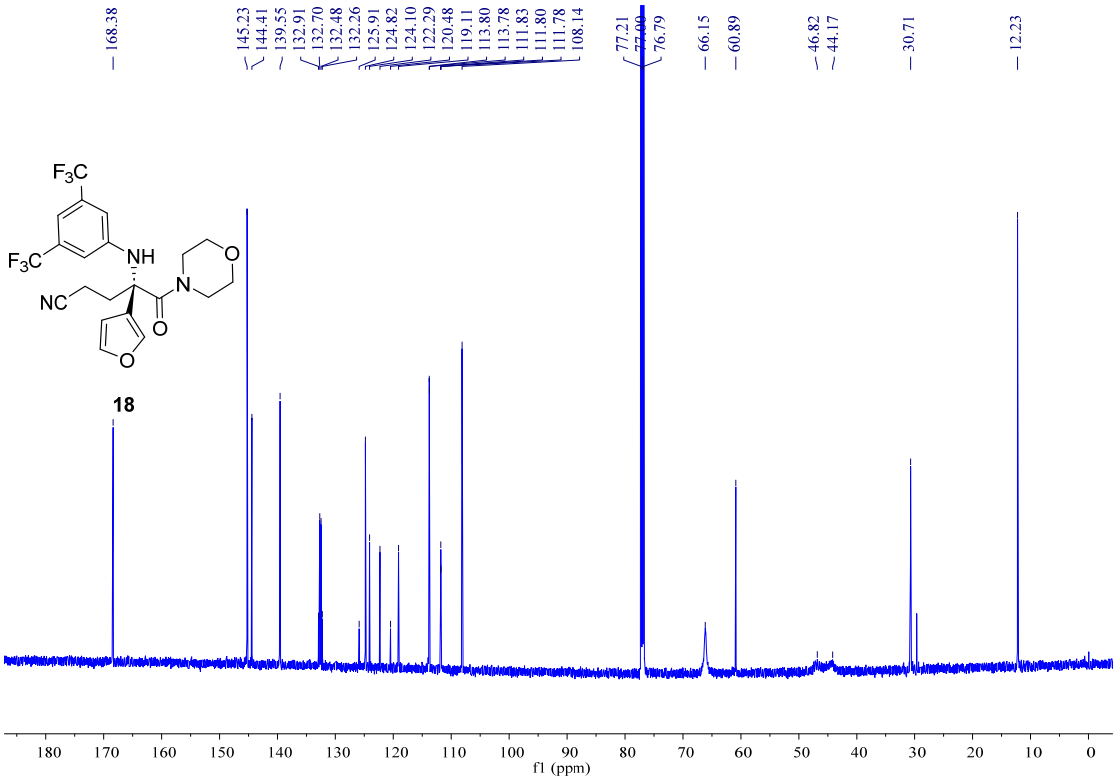
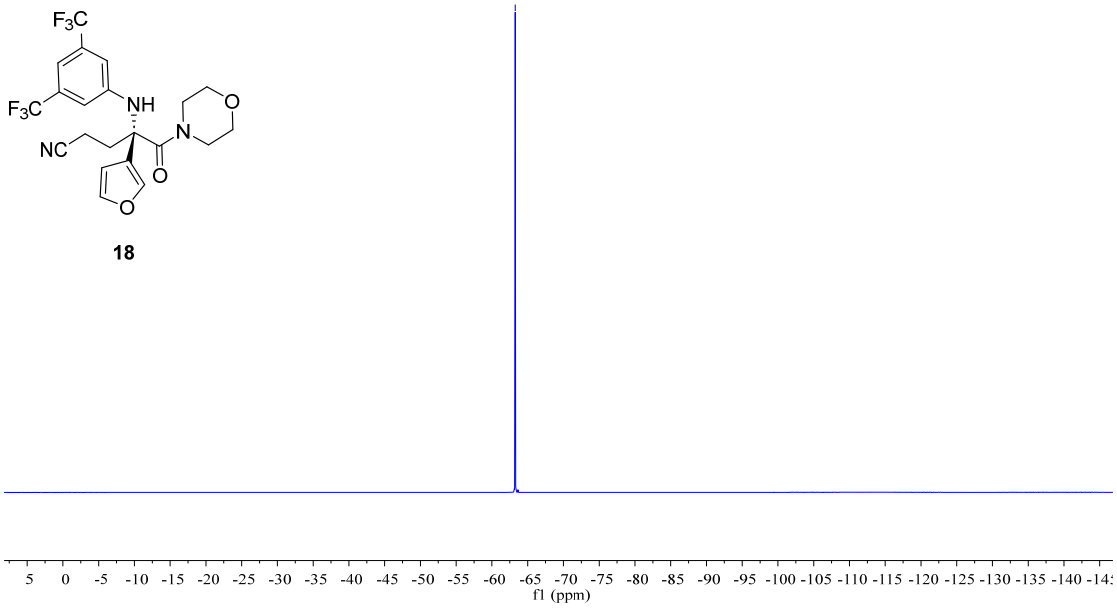
149.17
147.98
144.33
132.84
132.52
132.19
132.11
131.86
127.30
124.59
121.88
119.17
119.01
113.69
113.65
111.17
108.55
106.42
101.81
77.32
77.00
76.68
66.02
64.73
45.99
29.66
12.42
F3C
F3C
NH
O
N
NC
O
O
O
O
17
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

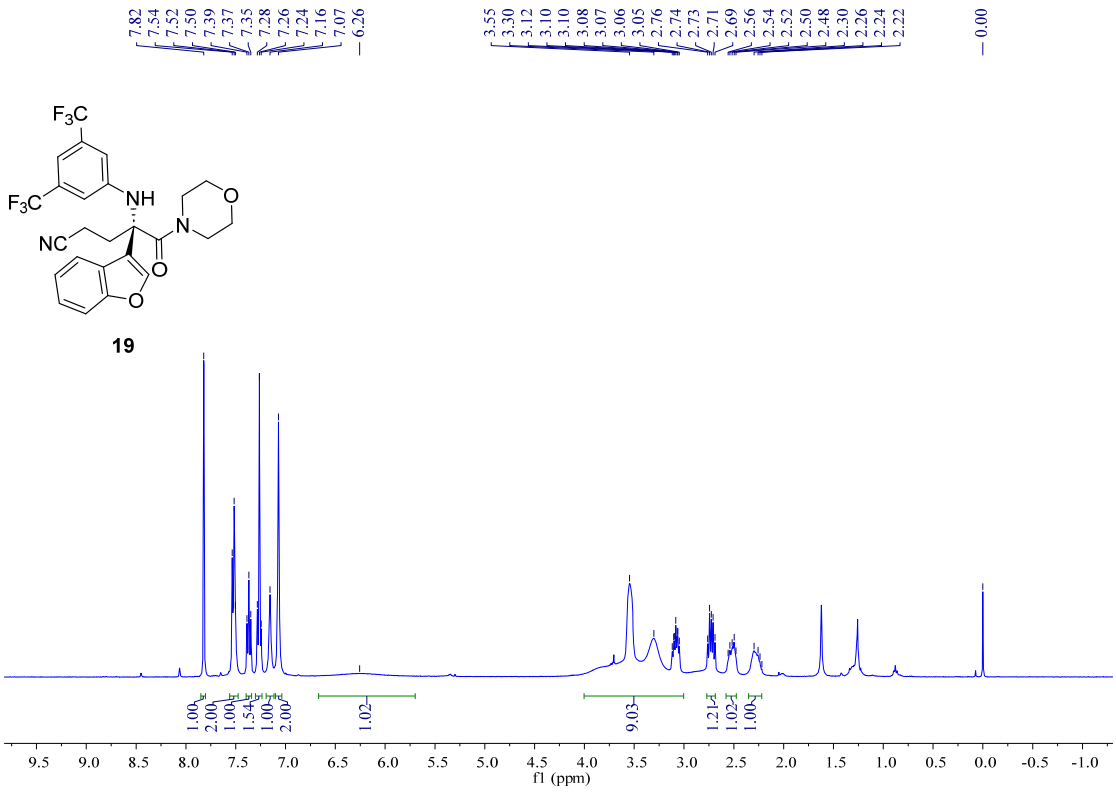

-63.27
F3C
F3C
NH
O
N
NC
O
O
O
17
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)


7.56
7.53
7.27
7.23
7.02
6.39
5.65
3.58
3.43
2.82
2.81
2.80
2.59
2.58
2.57
2.44
2.43
2.42
2.22
2.20
2.18
-0.00
F3C
F3C
NH
O
N
NC
O
O
18
2.00
0.99
2.00
1.00
1.00
8.25
1.00
1.00
1.00
f1 (ppm)


168.38
145.23
144.41
139.55
132.91
132.70
132.48
132.26
125.91
124.82
124.10
122.29
120.48
119.11
113.80
113.78
111.83
111.80
111.78
108.14
77.21
77.00
76.79
66.15
60.89
46.82
44.17
30.71
12.23
F3C
F3C
NH
O
N
NC
O
O
18
f1 (ppm)


-63.26
F3C
F3C
NH
NC
O
N
O
O
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)

18


7.82
7.54
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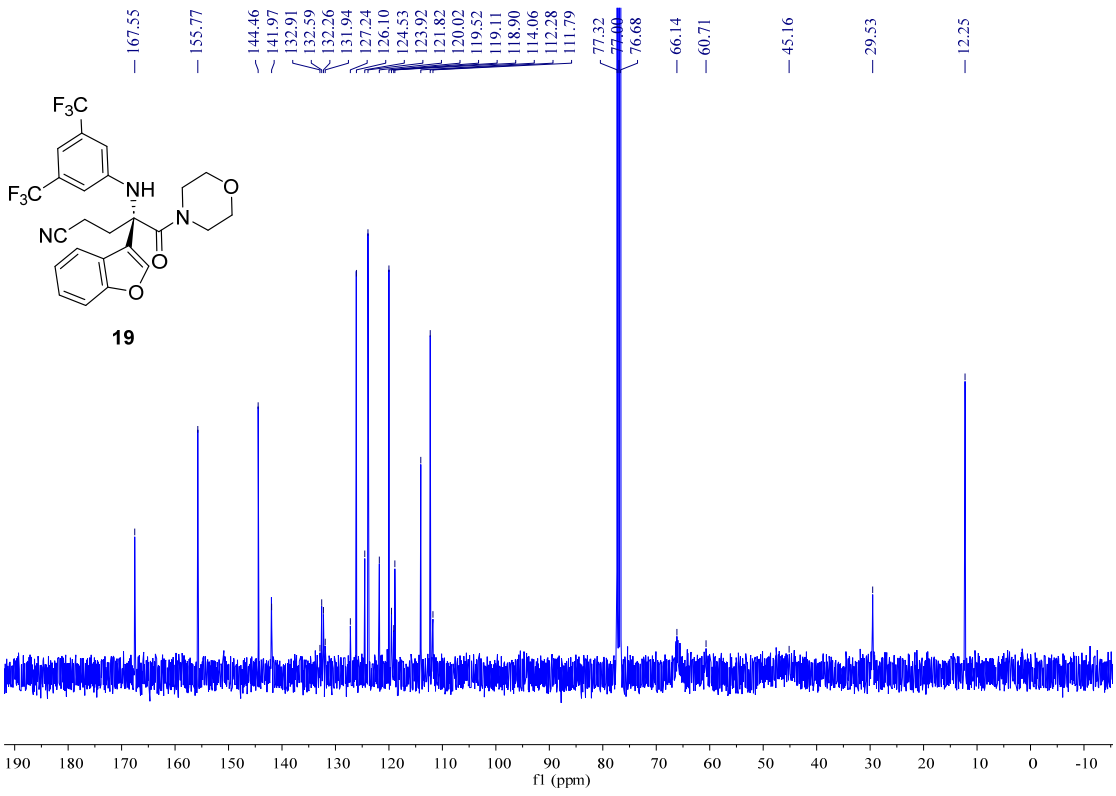
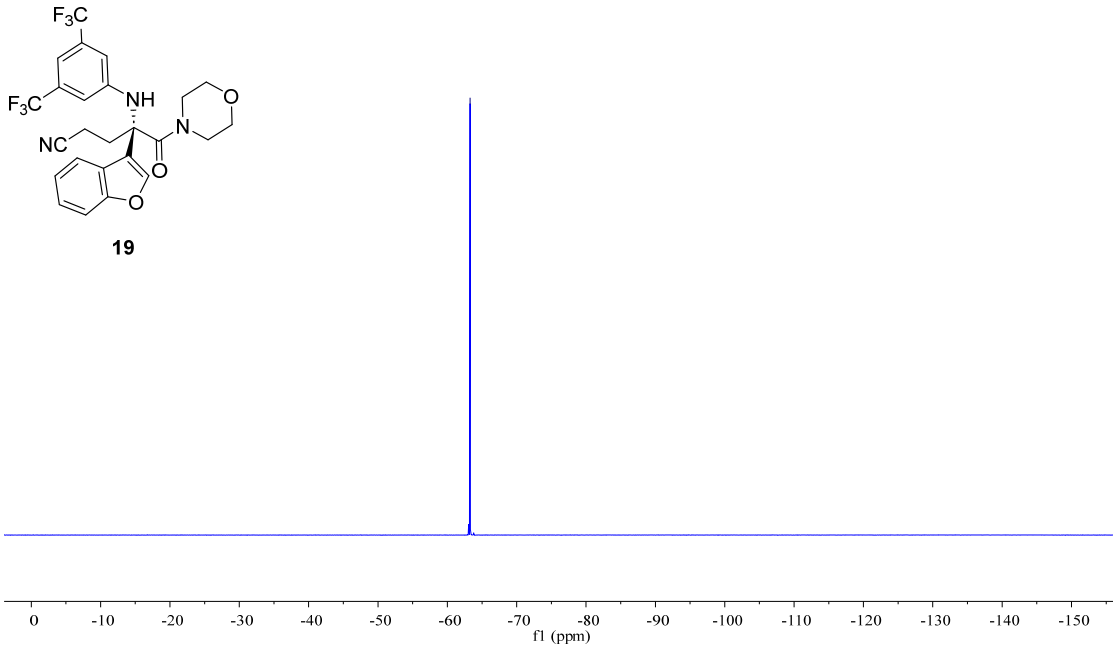
7.39
7.37
7.35
7.28
7.26
7.24
7.16
7.07
6.26
3.55
3.30
3.12
3.10
3.10
3.08
3.07
3.06
3.05
2.76
2.74
2.73
2.71
2.69
2.56
2.54
2.52
2.50
2.48
2.30
2.26
2.24
2.22
0.00
F3C
F3C
NH
O
N
NC
O
O
19
1.00
2.00
1.00
1.54
1.00
2.00
1.02
9.03
1.02
1.00
f1 (ppm)


167.55
155.77
144.46
141.97
132.91
132.59
132.26
131.94
127.24
126.10
124.53
123.92
121.82
120.02
119.52
119.11
118.90
114.06
112.28
111.79
77.32
77.00
76.68
66.14
60.71
45.16
29.53
12.25
F3C
F3C
NH
O
N
NC
O
O
19
f1 (ppm)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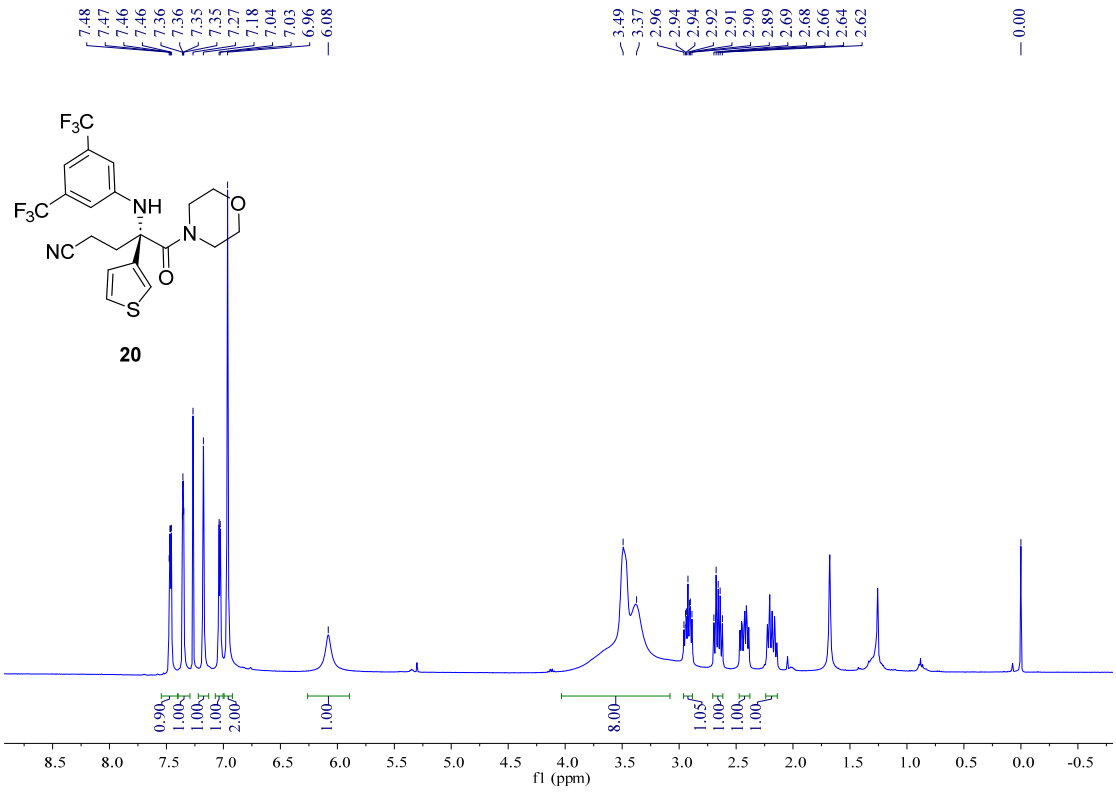
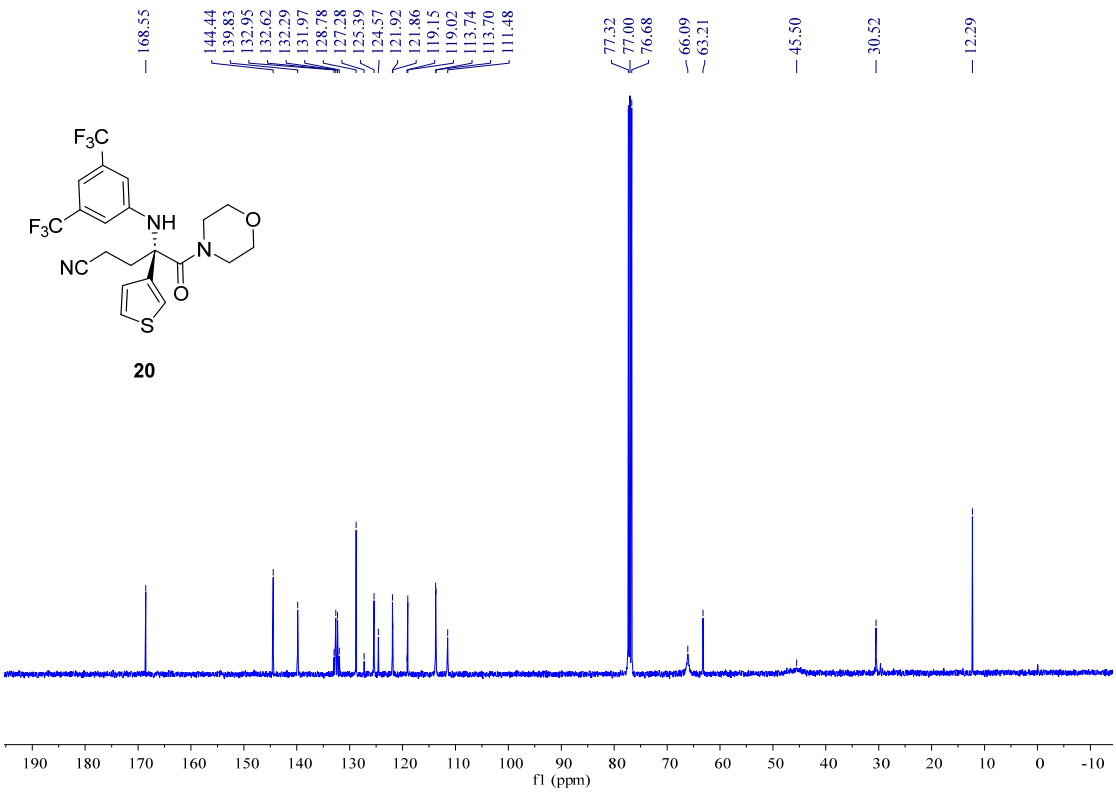
F3C
F3C
NH
O
N
NC
O
O
19
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)


7.48
7.47
7.46
7.46
7.36
7.36
7.35
7.35
7.27
7.18
7.04
7.03
6.96
6.08
3.49
3.37
2.96
2.94
2.94
2.92
2.91
2.90
2.89
2.69
2.68
2.66
2.64
2.62
0.00
F3C
F3C
NH
O
N
NC
O
S
20
0.90
1.00
1.00
1.00
2.00
1.00
8.00
1.05
1.00
1.00
1.00
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)


168.55
144.44
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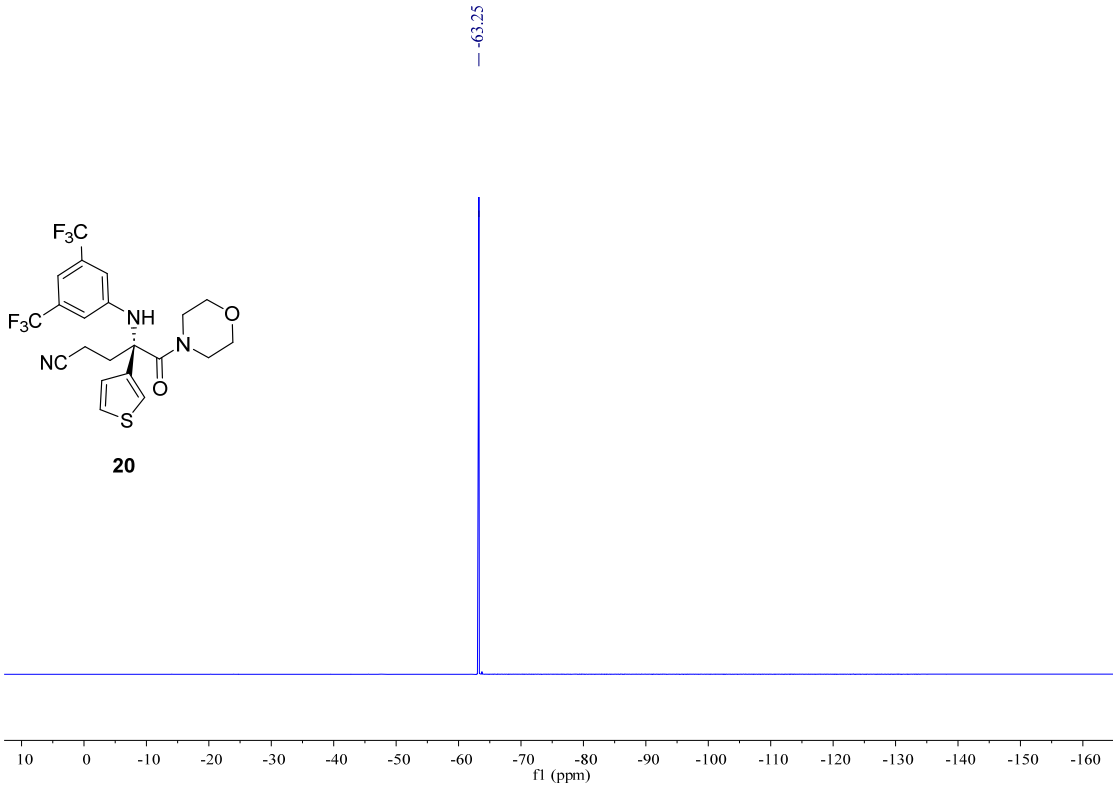
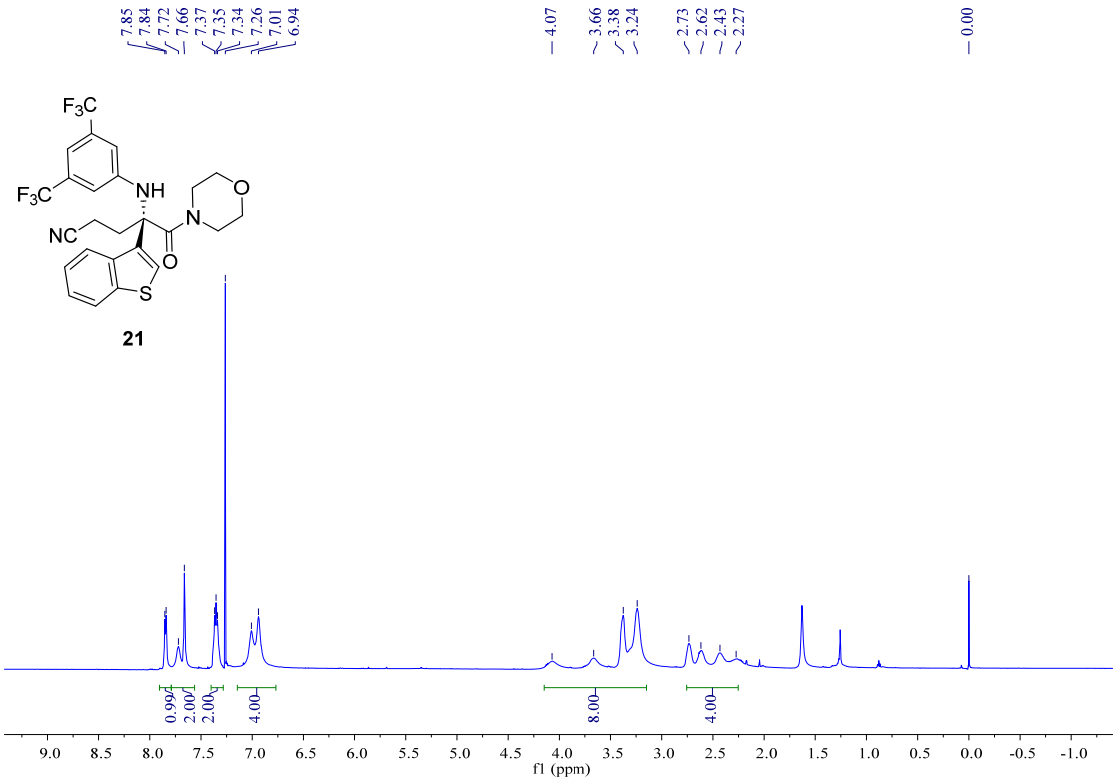
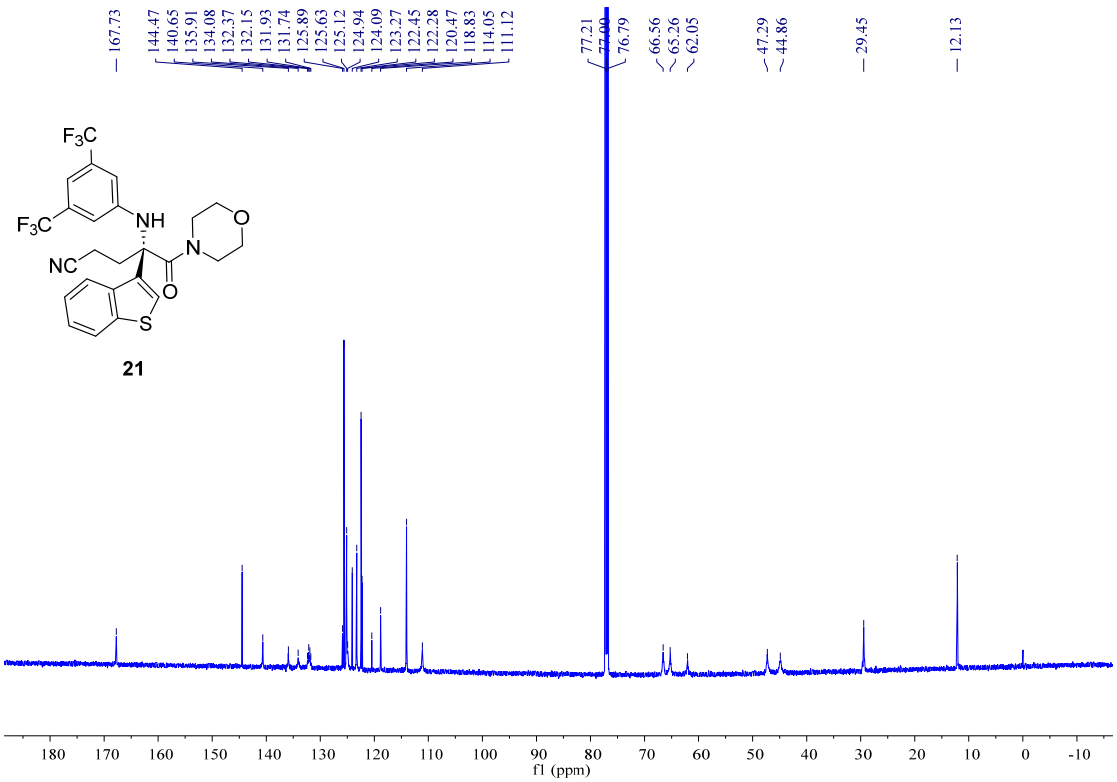
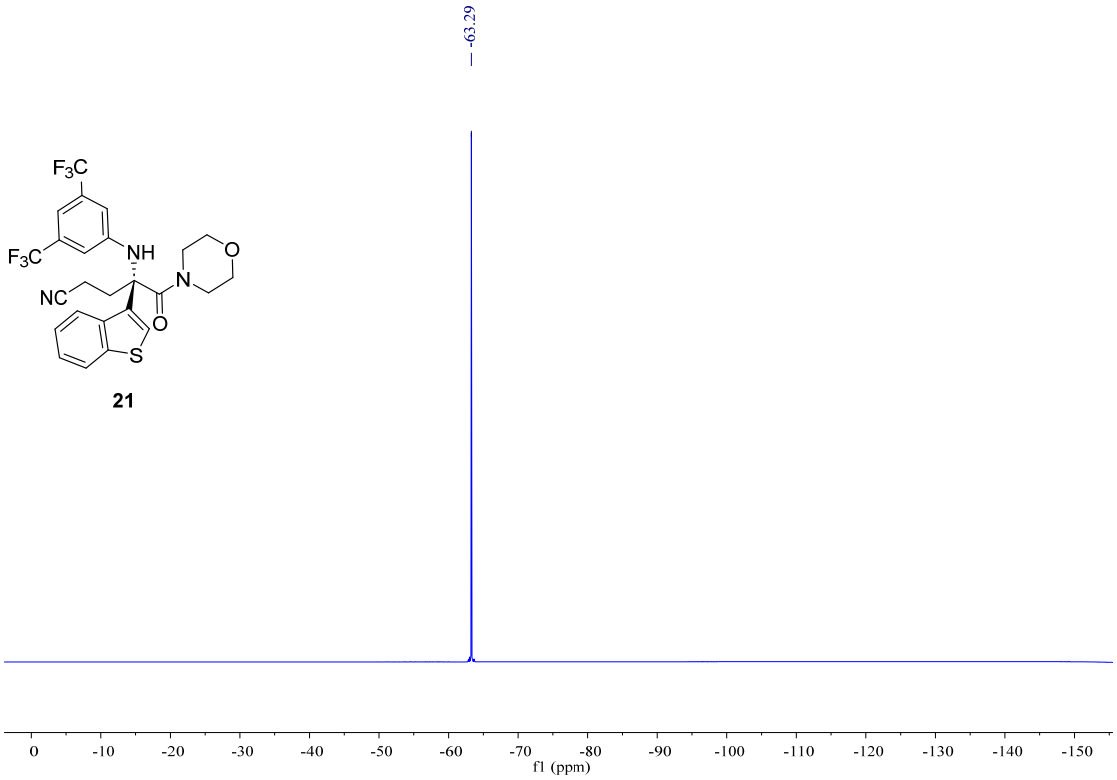
132.95
132.62
132.29
131.97
128.78
127.28
125.39
124.57
121.92
121.86
119.15
119.02
113.74
113.70
111.48
77.32
77.00
76.68
66.09
63.21
45.50
30.52
12.29
F3C
F3C
NH
O
N
NC
O
S
20
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.25
F3C
F3C
NH
O
N
NC
O
S
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

20


7.85
7.84
7.72
7.66
7.37
7.35
7.34
7.26
7.01
6.94
4.07
3.66
3.38
3.24
2.73
2.62
2.43
2.27
0.00
F3C
F3C
NH
O
N
NC
O
S
21
0.99
2.00
2.00
4.00
8.00
4.00
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)


167.73
144.47
140.65
135.91
134.08
132.37
132.15
131.93
131.74
125.89
125.63
125.12
124.94
124.09
123.27
122.45
122.28
120.47
118.83
114.05
111.12
77.21
77.00
76.79
66.56
65.26
62.05
47.29
44.86
29.45
12.13
F3C
F3C
NH
O
N
NC
O
S
21
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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F3C
NH
O
N
NC
O
S
21
0
-10
-20
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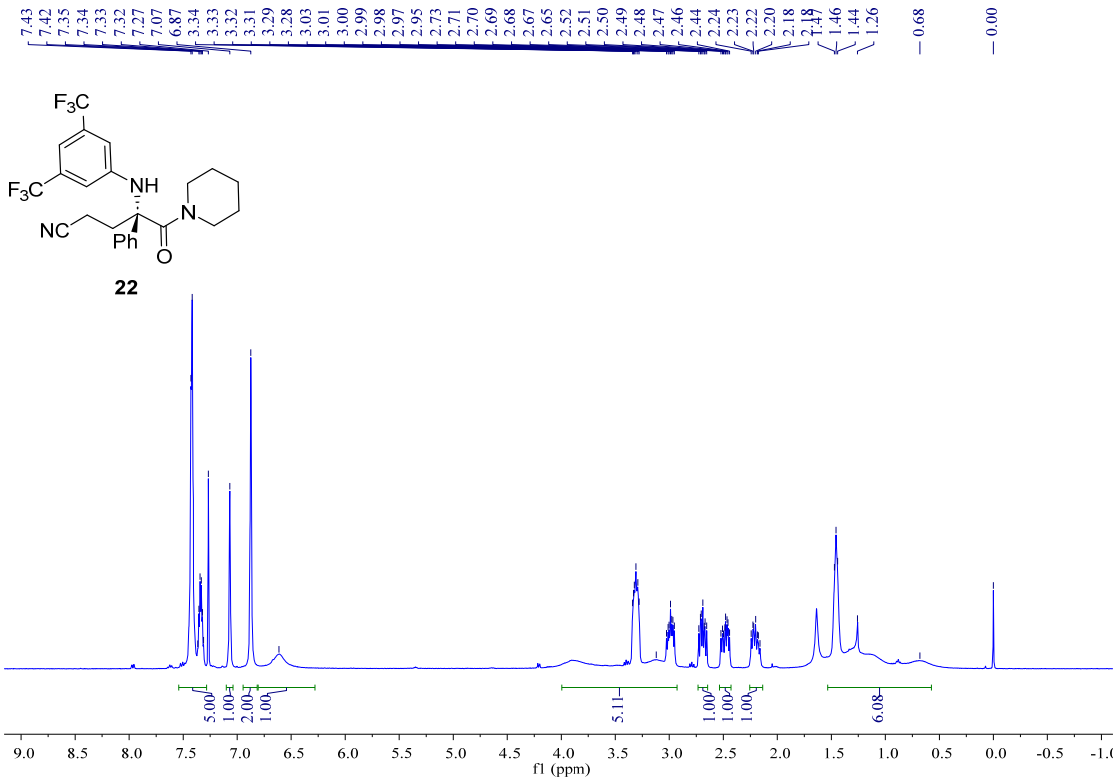
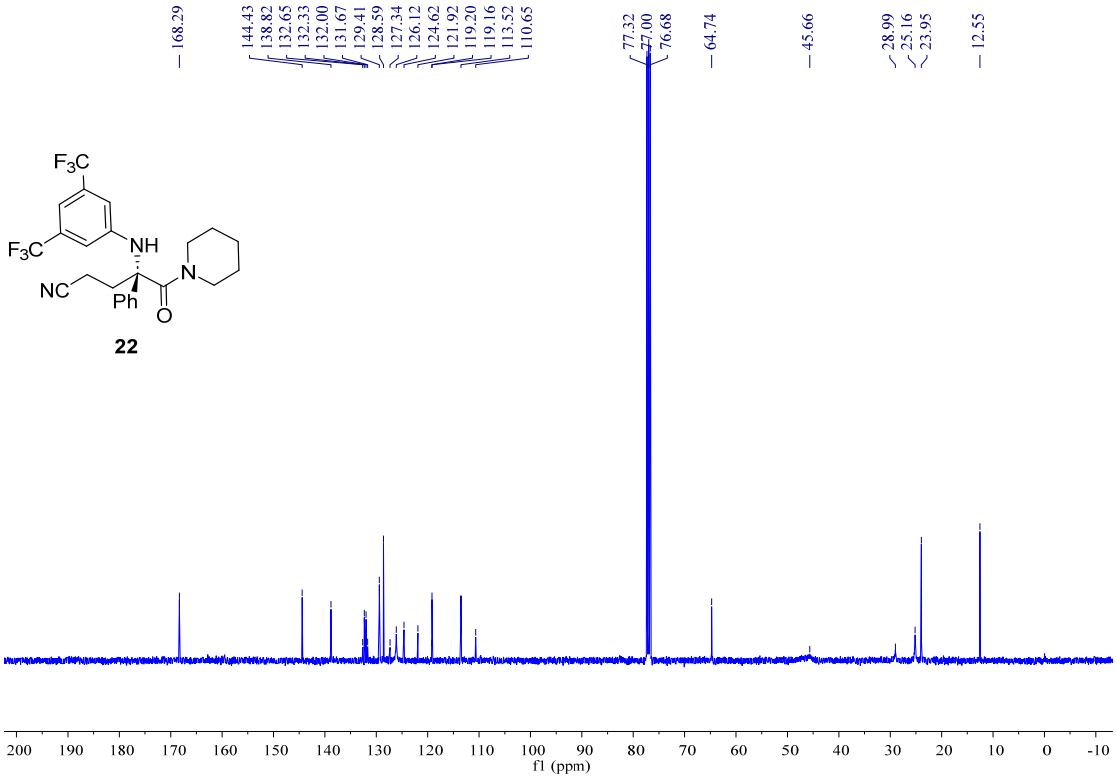
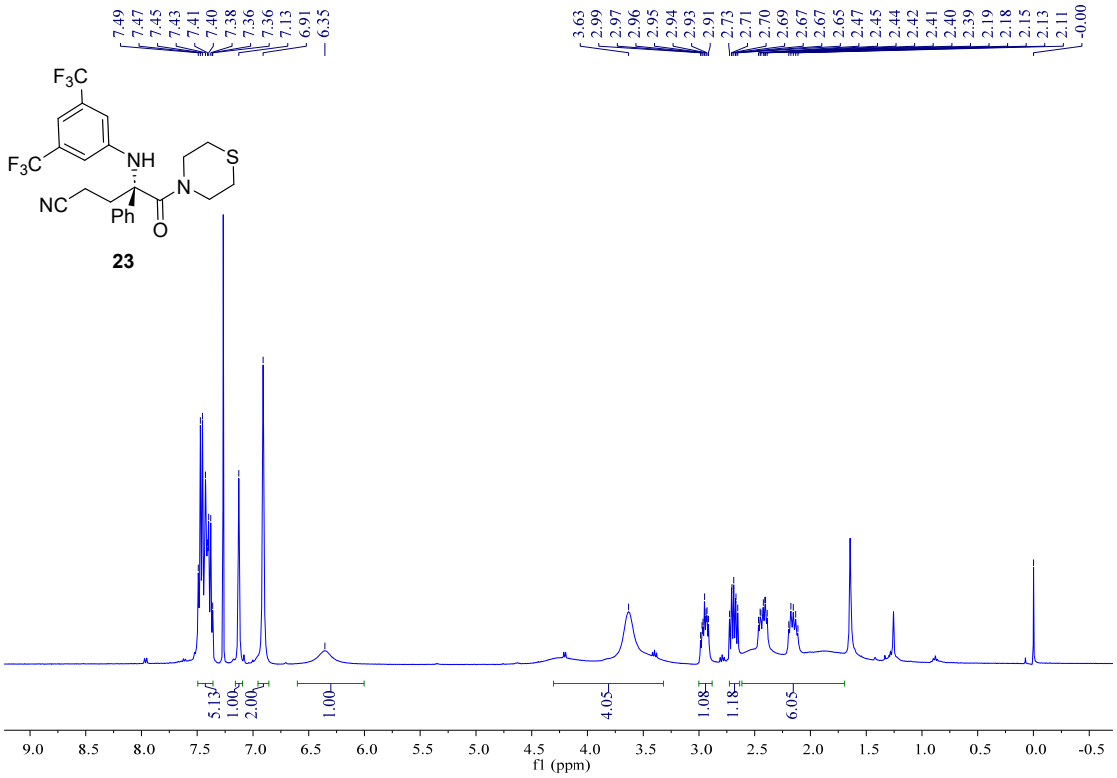
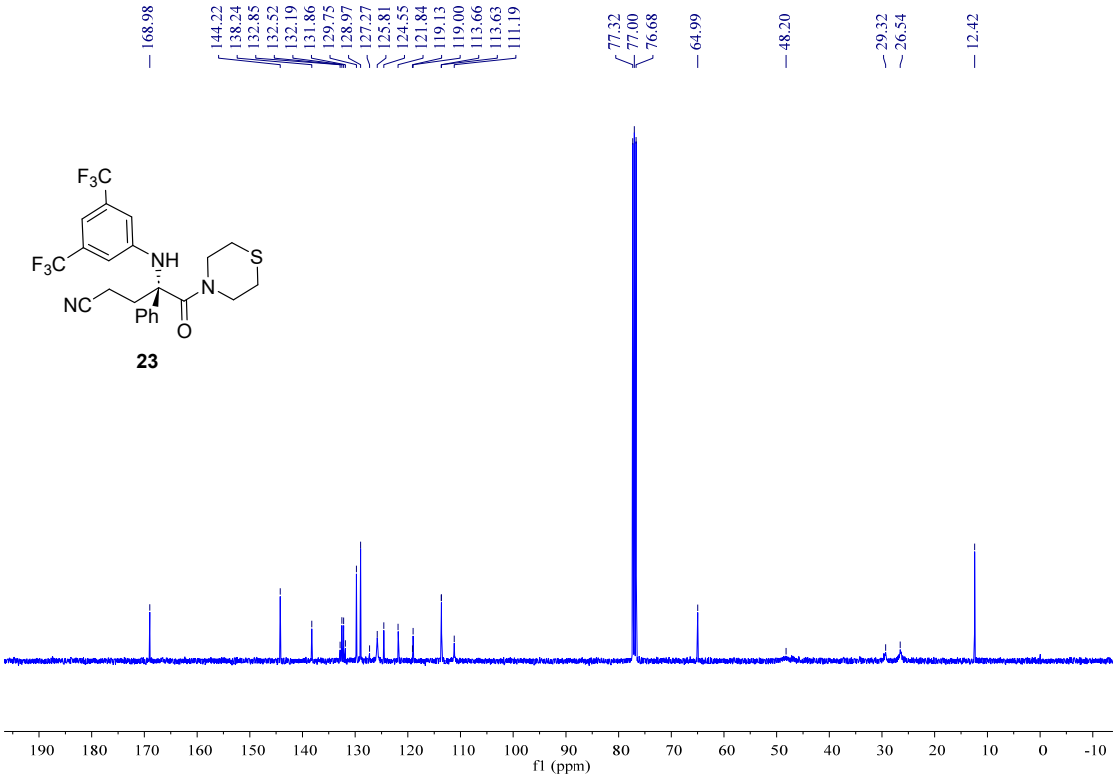
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)


7.43
7.42
7.35
7.34
7.33
7.32
7.27
7.07
6.87
3.34
3.33
3.32
3.31
3.29
3.28
3.03
3.01
3.00
2.99
2.98
2.97
2.95
2.73
2.71
2.70
2.69
2.68
2.67
2.65
2.52
2.51
2.50
2.49
2.48
2.47
2.46
2.44
2.24
2.23
2.22
2.20
2.18
1.46
1.44
1.26
0.68
0.00
F3C
F3C
NH
N
NC
Ph
O
22
5.00
1.00
2.00
1.00
5.11
1.00
1.00
1.00
6.08
f1 (ppm)


168.29
144.43
138.82
132.65
132.33
132.00
131.67
129.41
128.59
127.34
126.12
124.62
121.92
119.20
119.16
113.52
110.65
77.32
77.00
76.68
64.74
45.66
28.99
25.16
23.95
12.55
F3C
F3C
NH
N
NC
Ph
O
22
f1 (ppm)


-63.32
F3C
F3C
NH
N
NC
Ph
O
22
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)


7.49
7.47
7.45
7.43
7.41
7.40
7.38
7.36
7.36
7.13
6.91
6.35
3.63
2.99
2.97
2.96
2.95
2.94
2.93
2.91
2.73
2.71
2.70
2.69
2.67
2.67
2.65
2.47
2.45
2.44
2.42
2.41
2.40
2.39
2.19
2.18
2.15
2.13
2.11
0.00
F3C
F3C
NH
S
N
NC
Ph
O
23
5.13
1.00
2.00
1.00
4.05
1.08
1.18
6.05
f1 (ppm)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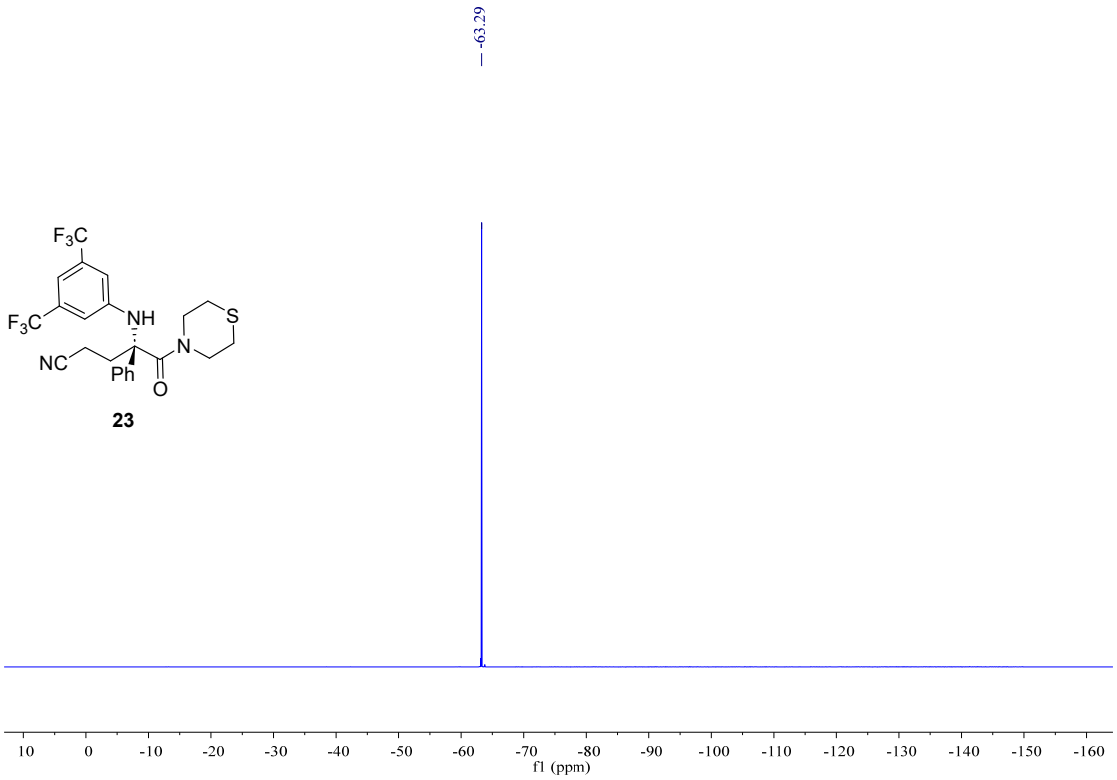
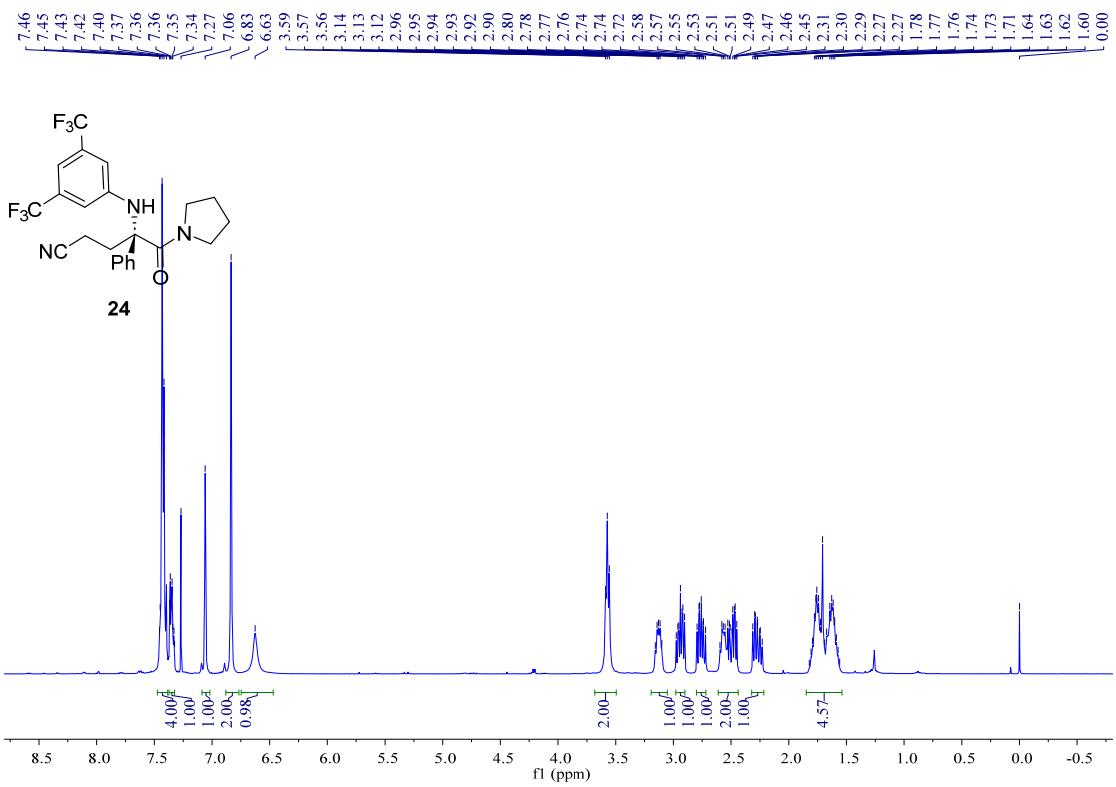
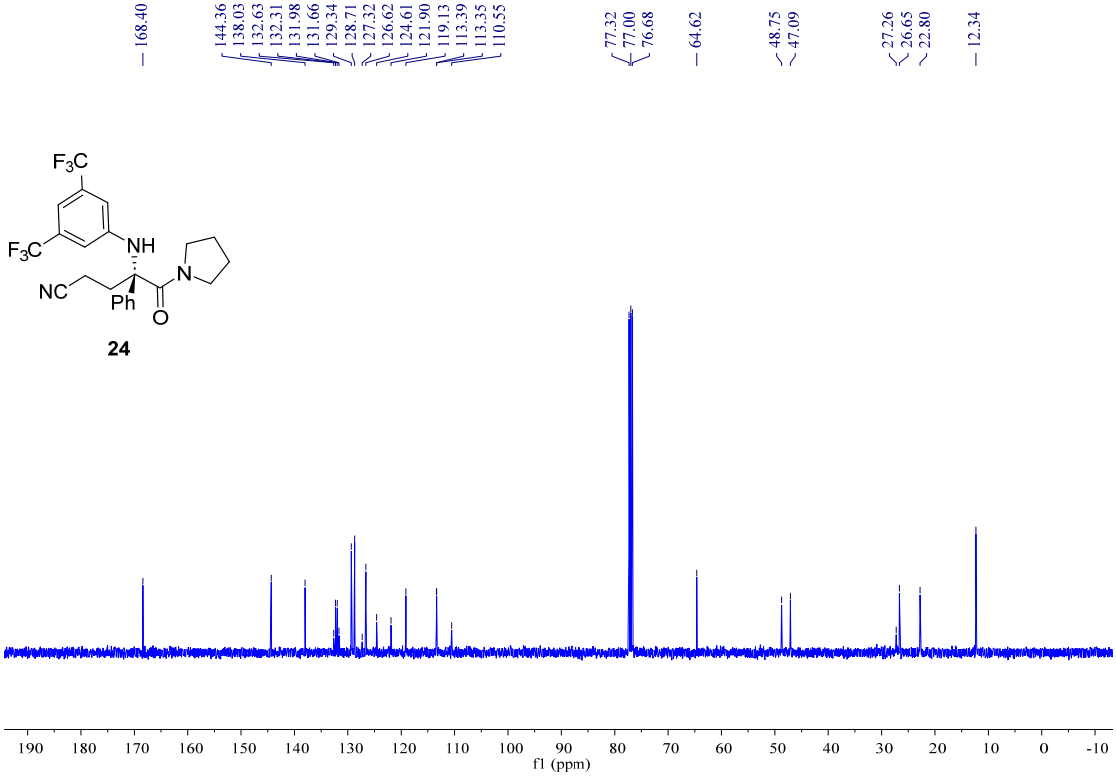
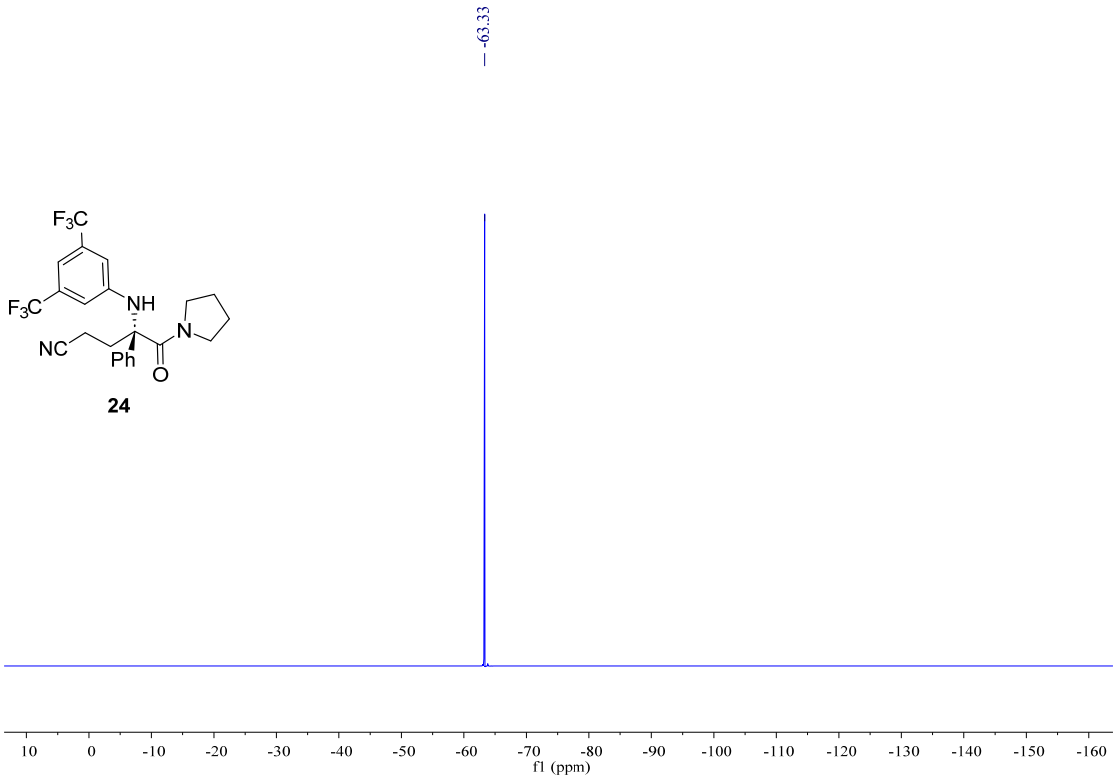
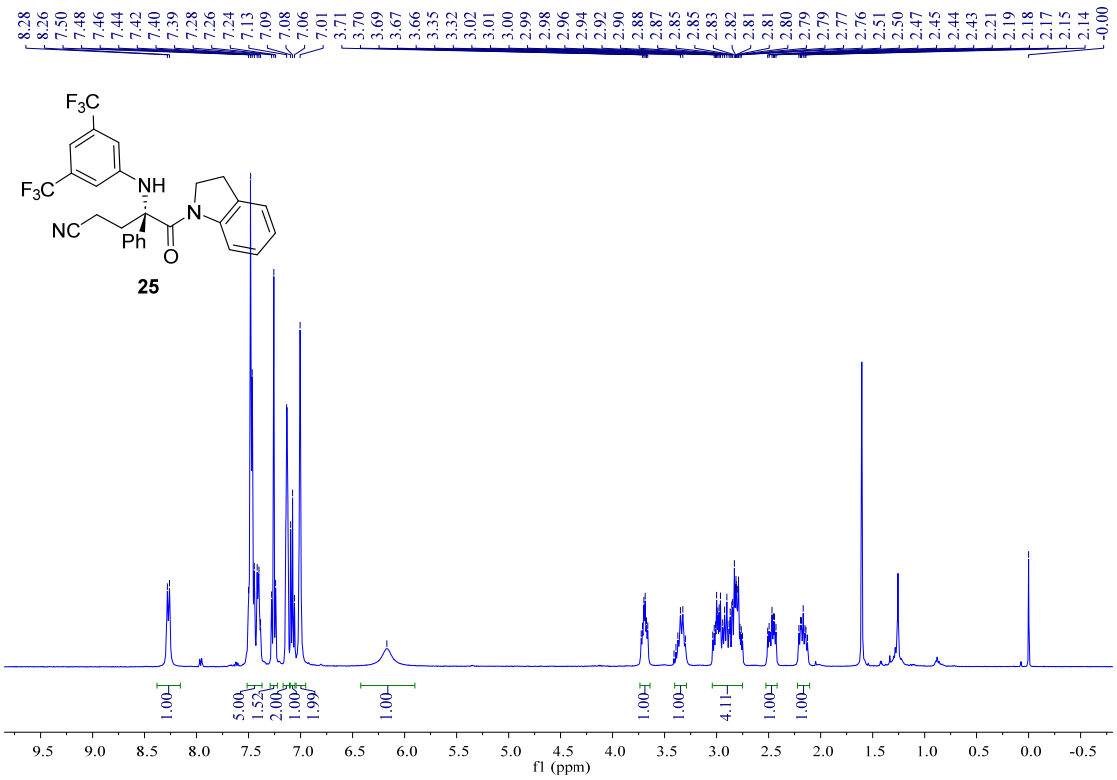
138.24
132.85
132.52
132.19
131.86
129.75
128.97
127.27
125.81
124.55
121.84
119.13
119.00
113.66
113.63
111.19
77.32
77.00
76.68
64.99
48.20
29.32
26.54
12.42
F3C
F3C
NH
S
N
NC
Ph
O
23
f1 (ppm)

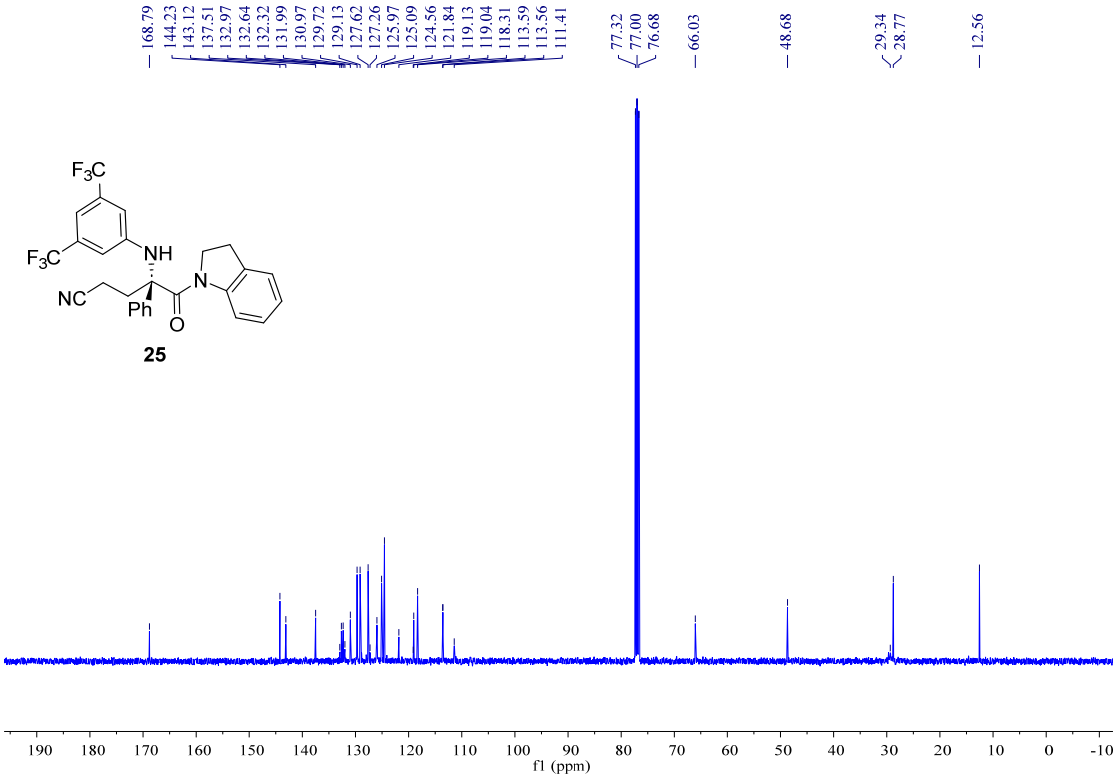

-63.29
F3C
F3C
NH
S
N
NC
Ph
O
23
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

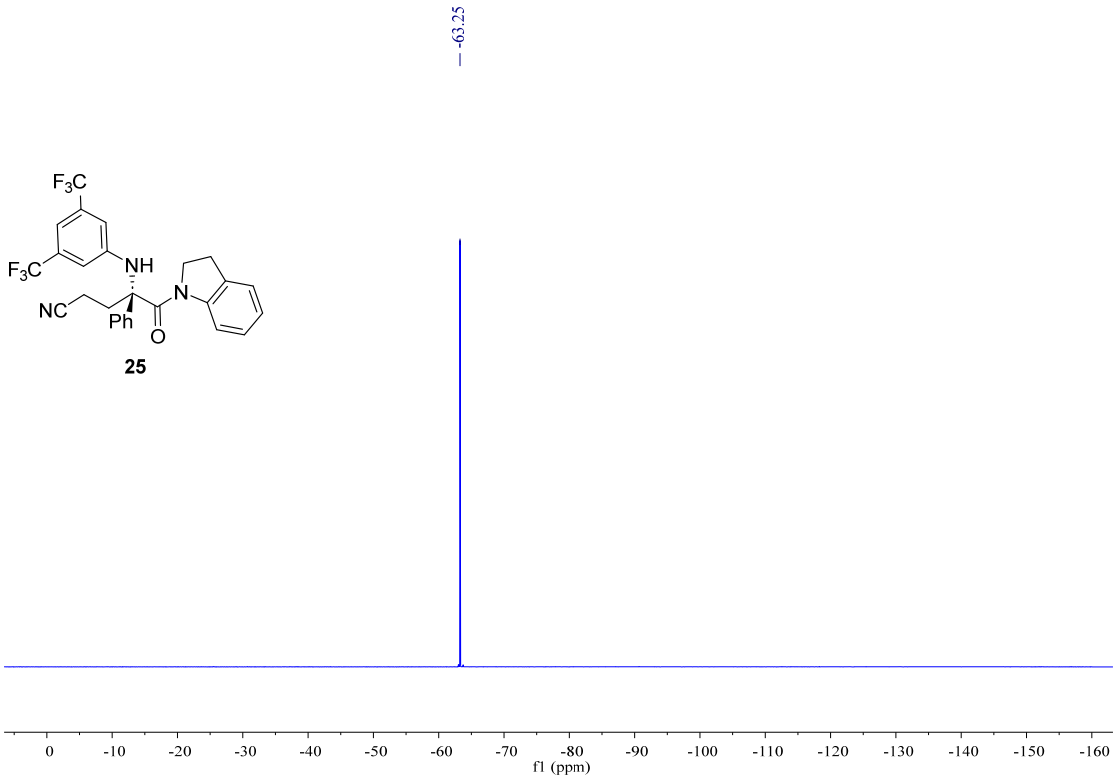

7.46 7.45 7.43 7.42 7.40 7.37 7.36 7.36 7.35 7.34 7.27 7.06 6.83 6.63 3.59 3.57 3.56 3.14 3.13 3.12 2.96 2.95 2.94 2.93 2.92 2.90 2.80 2.78 2.77 2.76 2.74 2.74 2.72 2.58 2.57 2.55 2.53 2.51 2.51 2.49 2.47 2.46 2.45 2.31 2.30 2.29 2.27 2.27 1.78 1.77 1.76 1.74 1.73 1.71 1.64 1.63 1.62 1.60 0.00
F3C
F3C
NH
NC
Ph
N
O
24
4.00 1.00 1.00 2.00 0.98 2.00 1.00 1.00 1.00 2.00 1.00 4.57
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)

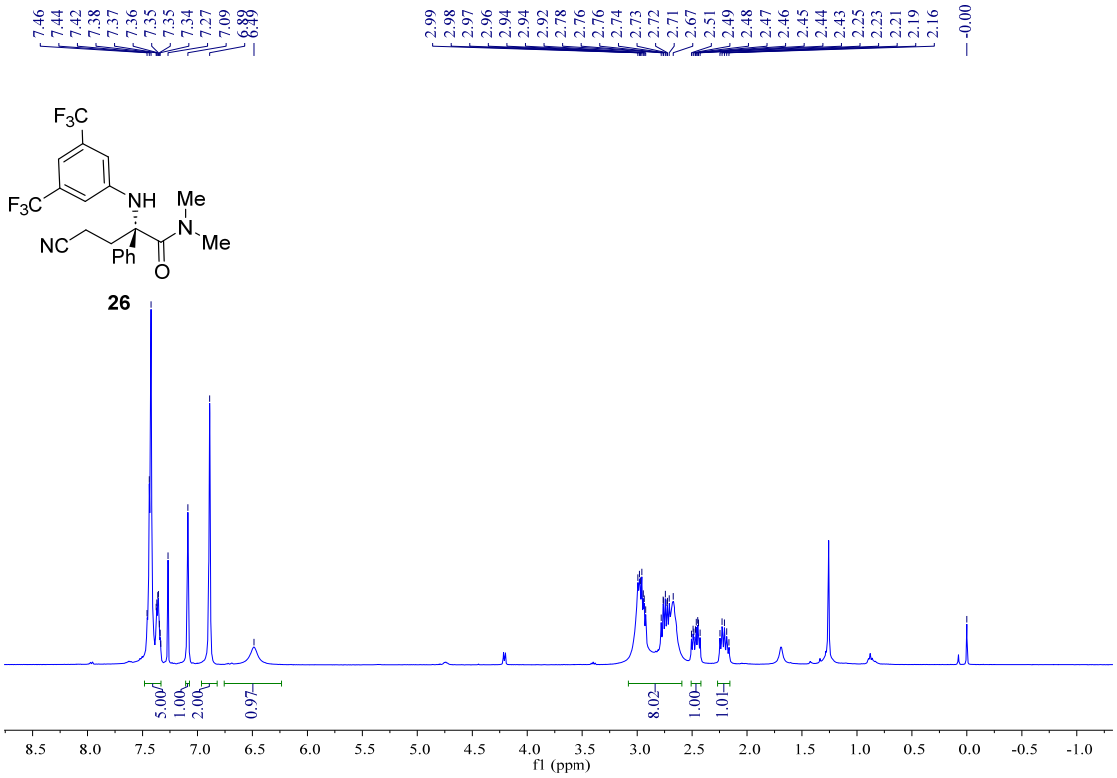

168.40
144.36 138.03 132.63 132.31 131.98 131.66 129.34 128.71 127.32 126.62 124.61 121.90 119.13 113.39 113.35 110.55
77.32 77.00 76.68
64.62
48.75 47.09
27.26 26.65 22.80
12.34
F3C
F3C
NH
NC
Ph
N
O
24
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.33
F3C
F3C
NH
N
NC
Ph
O
24
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)


8.28 8.26 7.50 7.48 7.46 7.44 7.42 7.40 7.39 7.28 7.26 7.24 7.13 7.09 7.08 7.06 7.01 3.71 3.70 3.69 3.67 3.66 3.35 3.32 3.02 3.01 3.00 2.99 2.98 2.96 2.94 2.92 2.90 2.88 2.87 2.85 2.85 2.83 2.82 2.81 2.81 2.80 2.79 2.79 2.77 2.76 2.51 2.50 2.47 2.45 2.44 2.43 2.21 2.19 2.18 2.17 2.15 2.14 -0.00
F3C
F3C
NH
N
NC
Ph
O
25
1.00 5.00 1.52 2.00 1.00 1.99 1.00 1.00 1.00 4.11 1.00 1.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


168.79 144.23 143.12 137.51 132.97 132.64 132.32 131.99 130.97 129.72 129.13 127.62 127.26 125.97 125.09 124.56 121.84 119.13 119.04 118.31 113.59 113.56 111.41 77.32 77.00 76.68 66.03 48.68 29.34 28.77 12.56
F3C
F3C
NH
N
NC
Ph
O
25
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.25
F3C
F3C
NH
N
NC
Ph
O
25
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)


7.46
7.44
7.42
7.38
7.37
7.36
7.35
7.35
7.34
7.27
7.09
6.88
6.87
2.99
2.98
2.97
2.96
2.94
2.94
2.92
2.78
2.76
2.76
2.74
2.73
2.72
2.71
2.67
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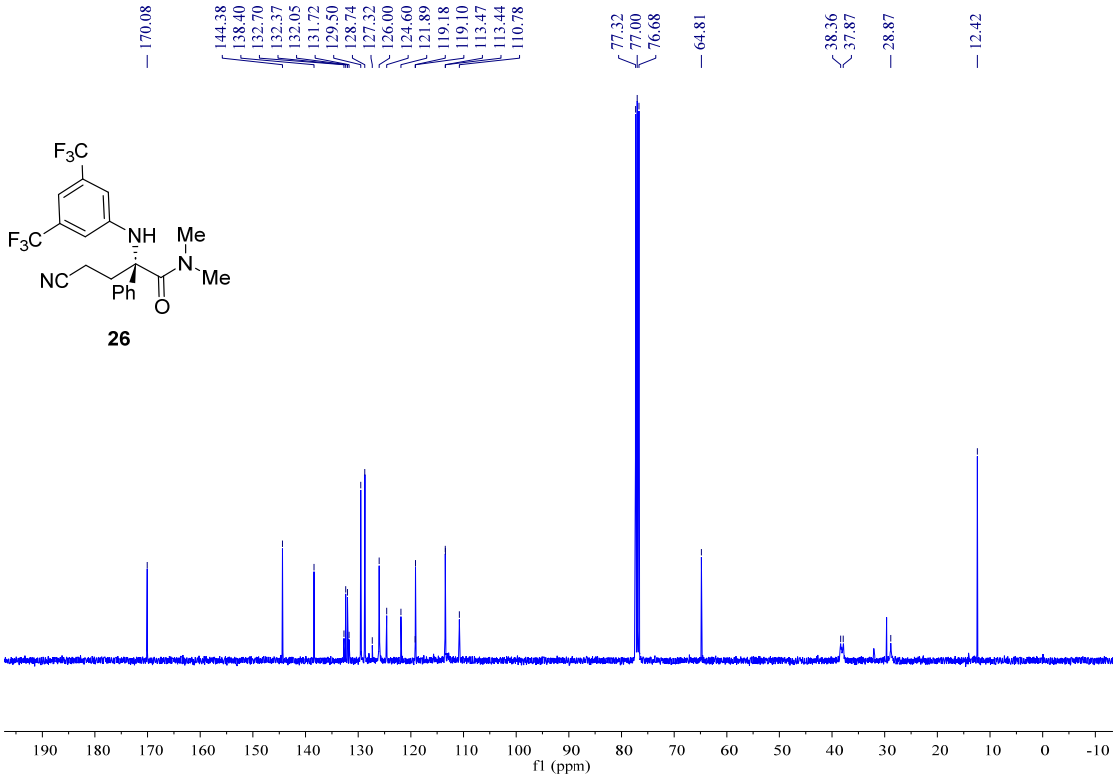
2.49
2.48
2.47
2.46
2.45
2.44
2.43
2.25
2.23
2.21
2.19
2.16
-0.00
F3C
F3C
NH
Me
N
Me
NC
Ph
O
26
5.00
1.00
2.00
0.97
8.02
1.00
1.01
f1 (ppm)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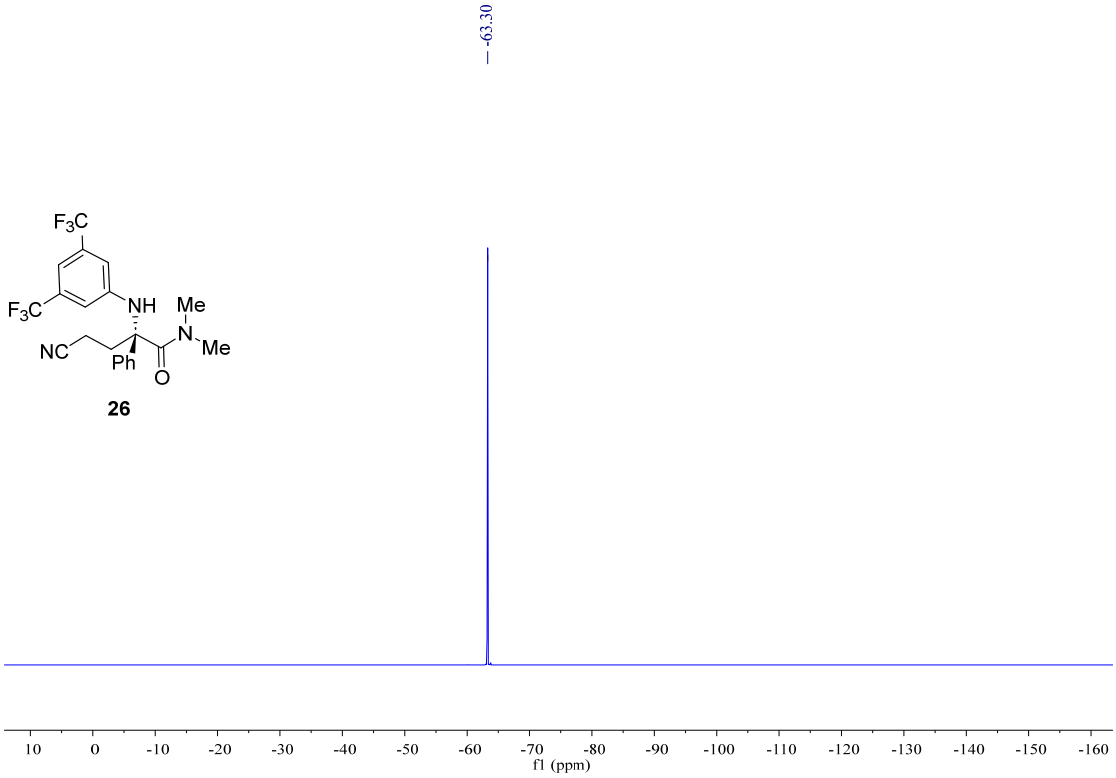
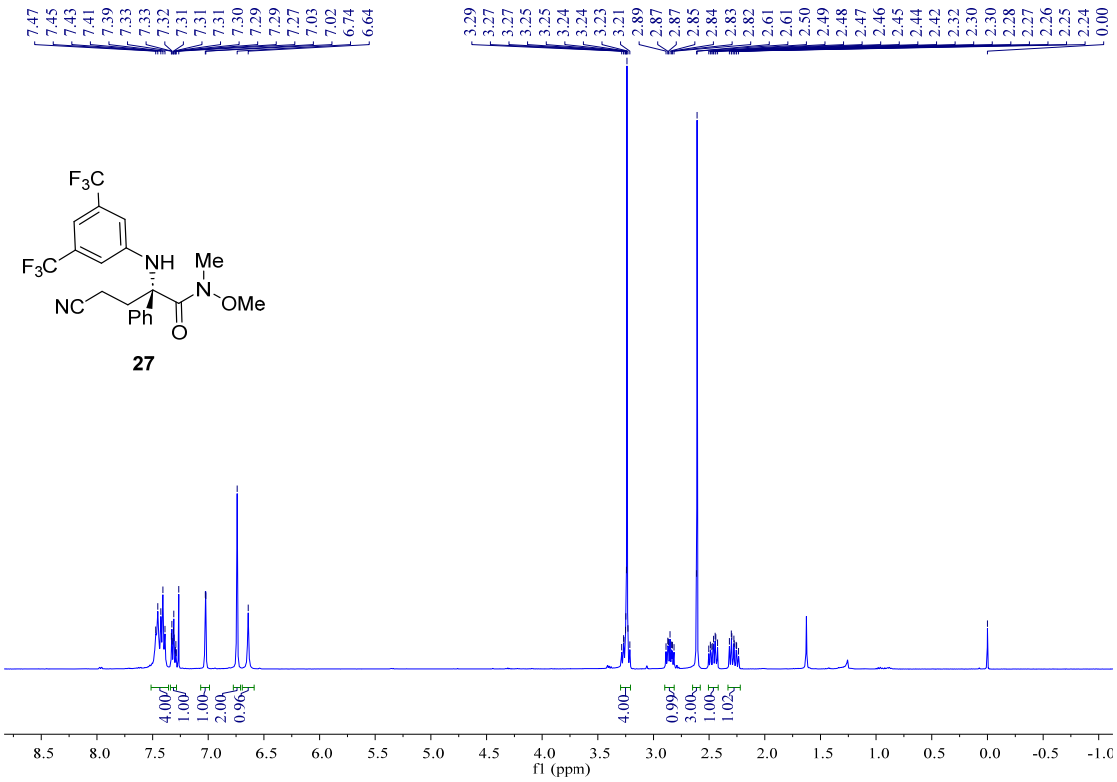
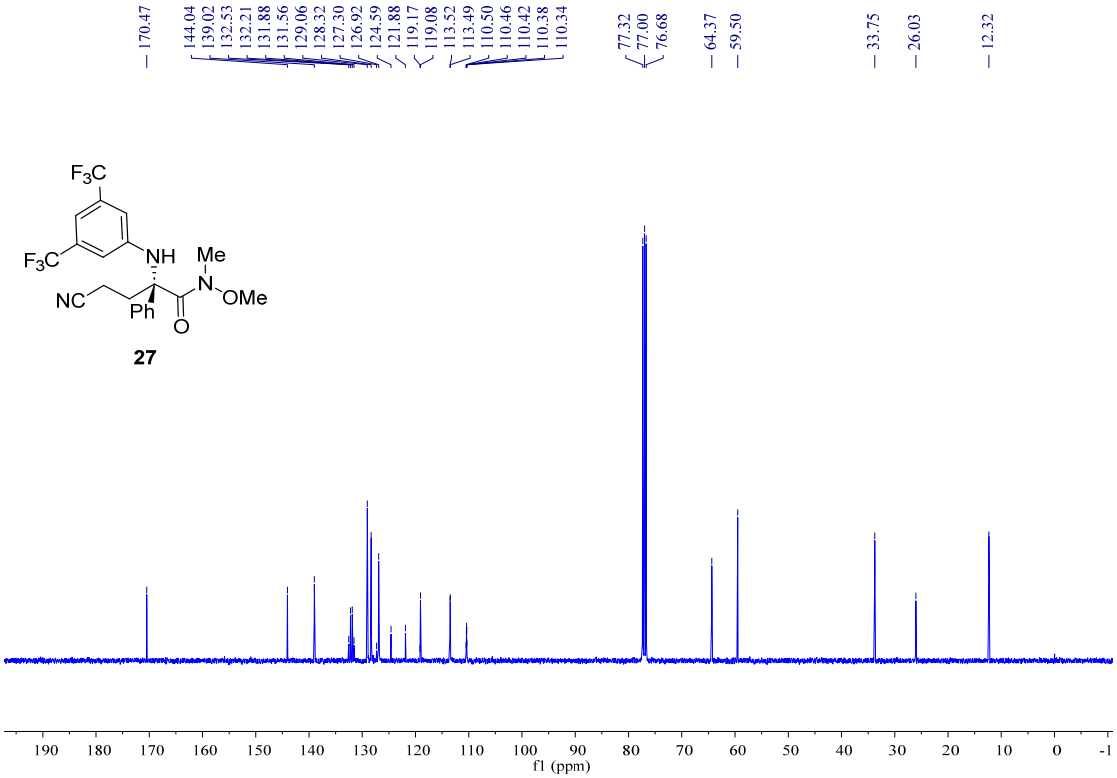
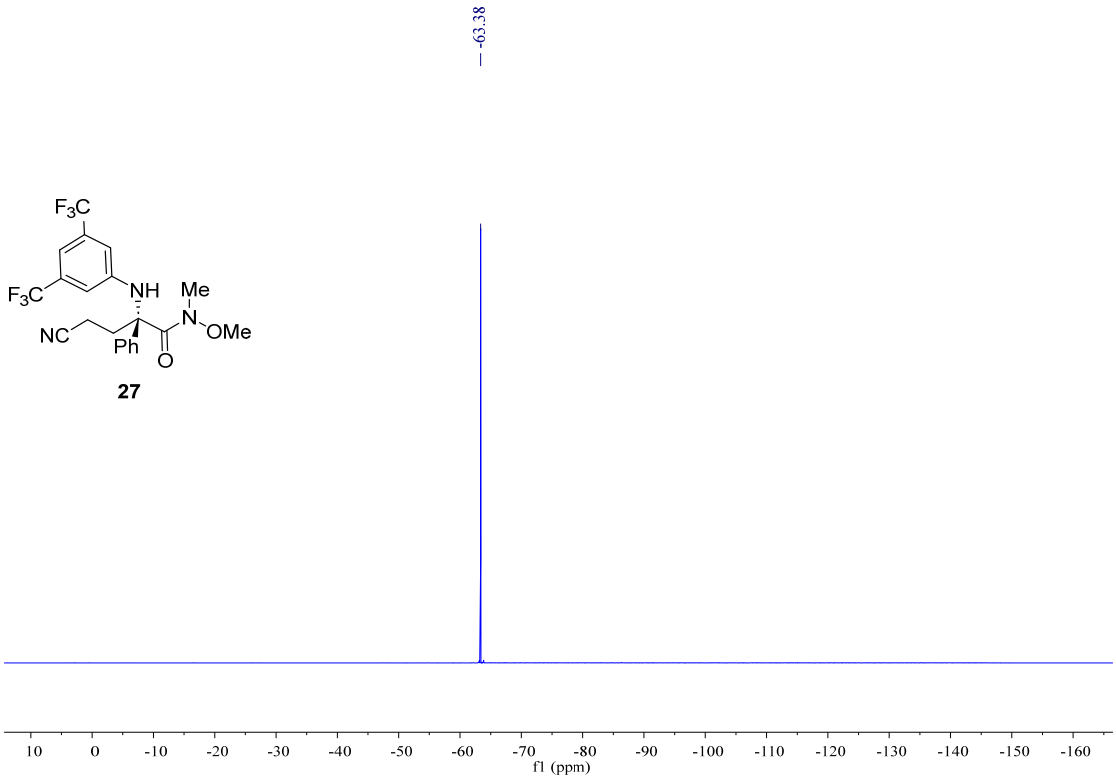
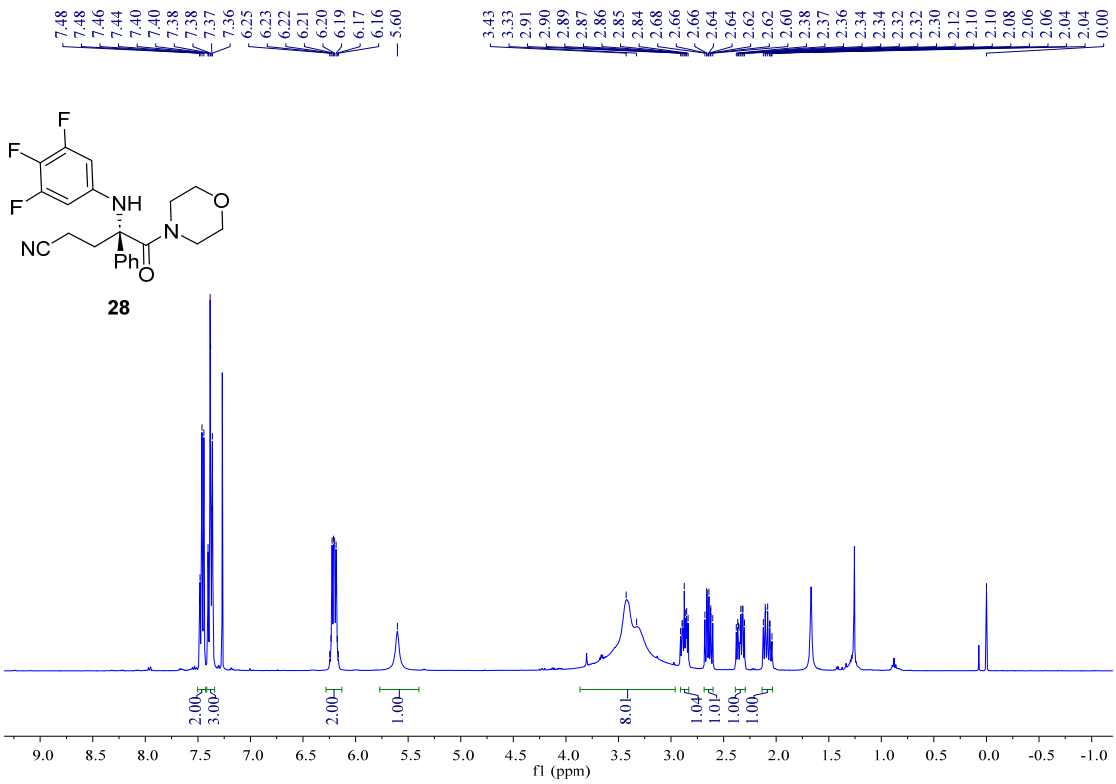
132.05
131.72
129.50
128.74
127.32
126.00
124.60
121.89
119.18
119.10
113.47
113.44
110.78
77.32
77.00
76.68
64.81
38.36
37.87
28.87
12.42
F3C
F3C
NH
Me
N
Me
NC
Ph
O
26
f1 (ppm)

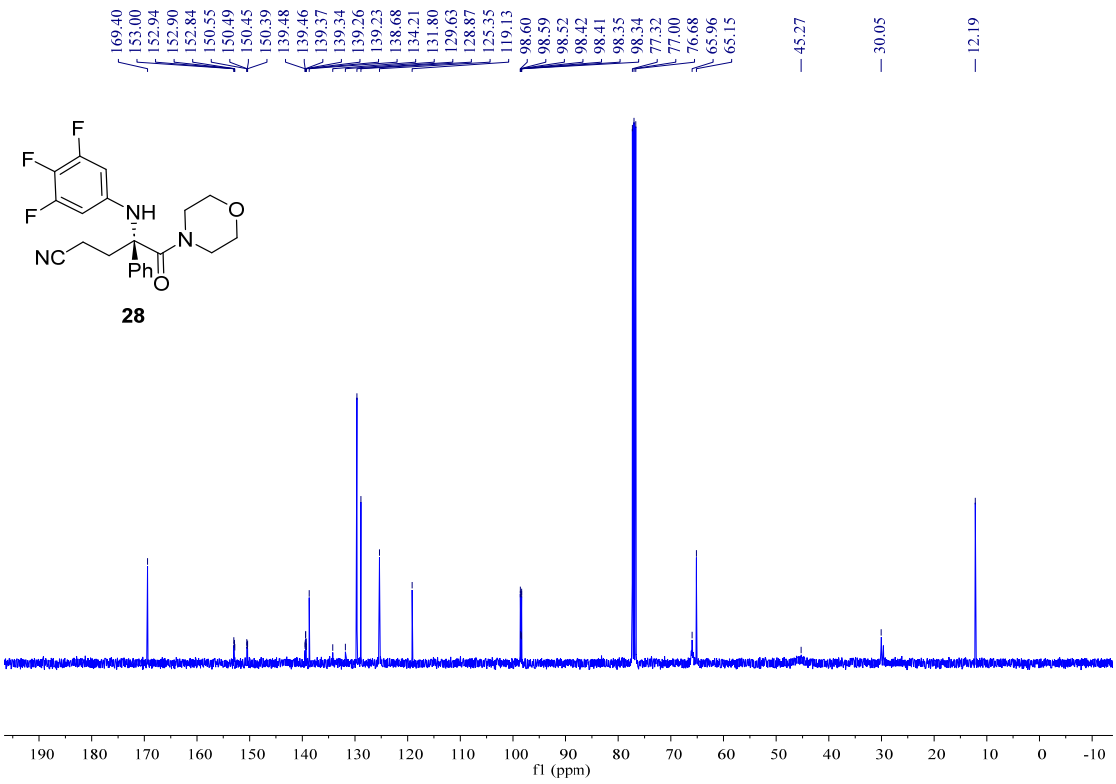

-63.30
F3C
F3C
NH
Me
N
Me
NC
Ph
O
26
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

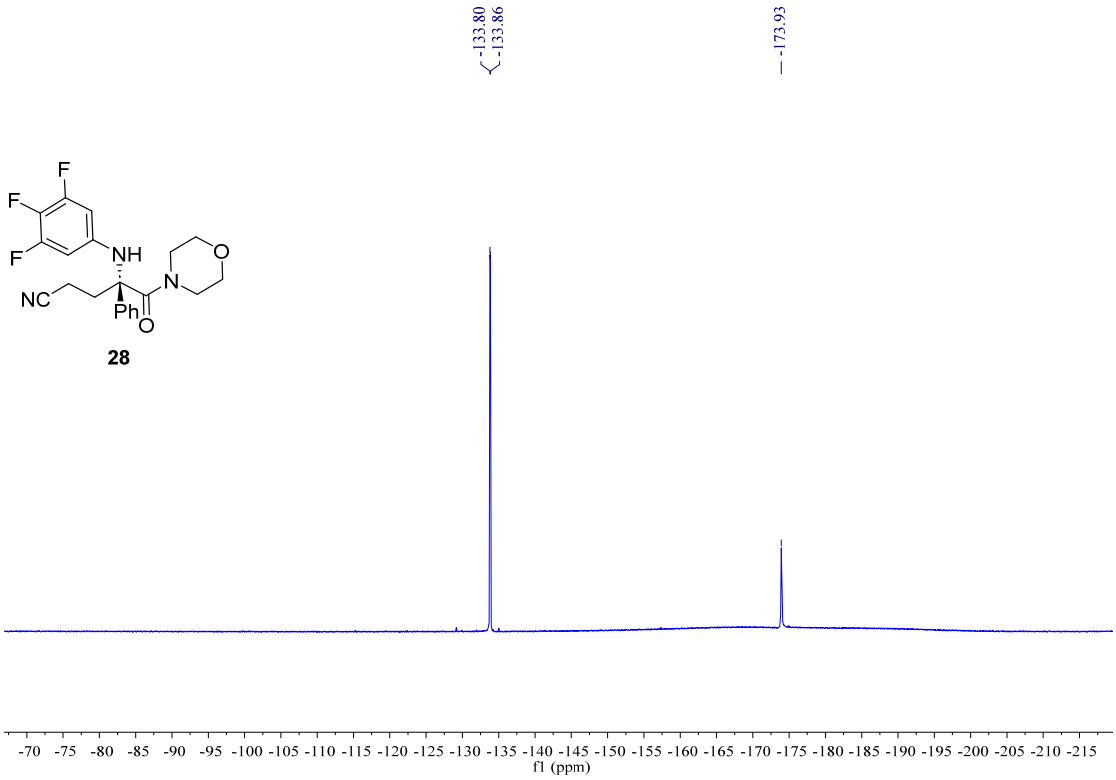

7.47 7.45 7.43 7.41 7.39 7.33 7.33 7.32 7.31 7.31 7.31 7.30 7.29 7.29 7.27 7.03 7.02 6.74 6.64
3.29 3.27 3.27 3.25 3.25 3.24 3.24 3.23 3.21 2.89 2.87 2.87 2.85 2.84 2.83 2.82 2.61 2.61 2.50 2.49 2.48 2.47 2.46 2.45 2.44 2.42 2.32 2.30 2.30 2.28 2.27 2.26 2.25 2.24 0.00
F3C
F3C
NH
Me
N
OMe
NC
Ph
O
27
4.00 1.00 1.00 2.00 0.96 4.00 0.99 3.00 1.00 1.02
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)

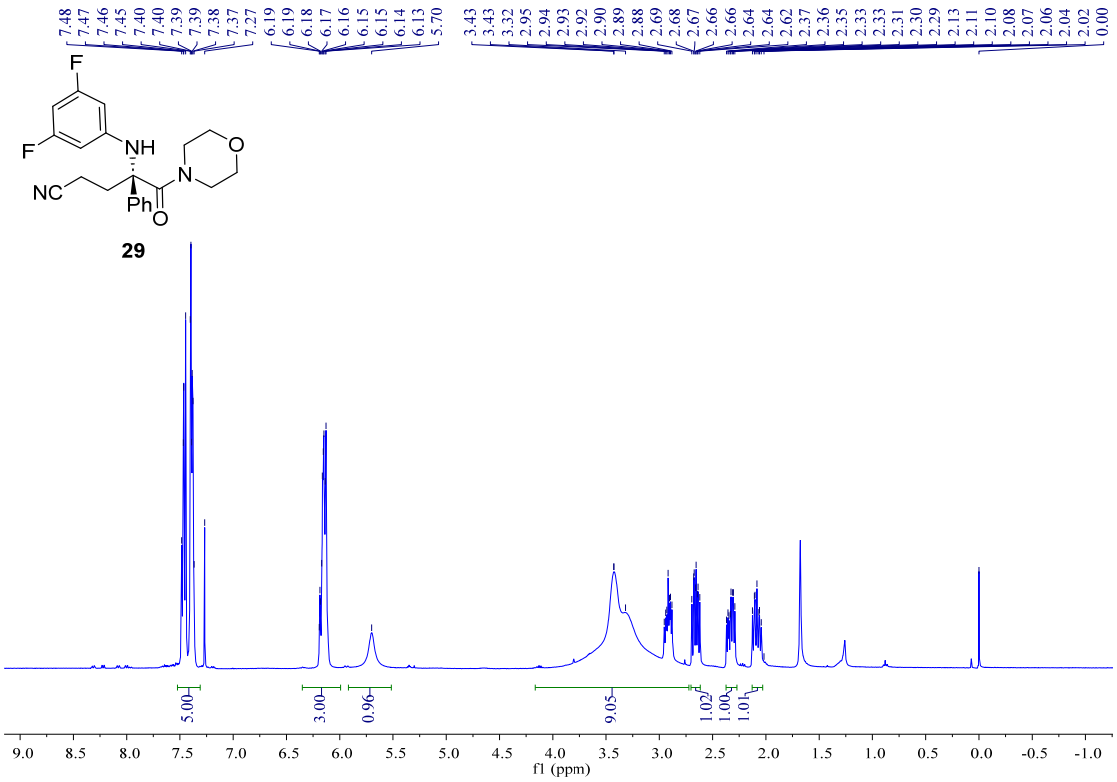

170.47
144.04 139.02 132.53 132.21 131.88 131.56 129.06 128.32 127.30 126.92 124.59 121.88 119.17 119.08 113.52 113.49 110.50 110.46 110.42 110.38 110.34
77.32 77.00 76.68
64.37
59.50
33.75
26.03
12.32
F3C
F3C
NH
Me
N
OMe
NC
Ph
O
27
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)

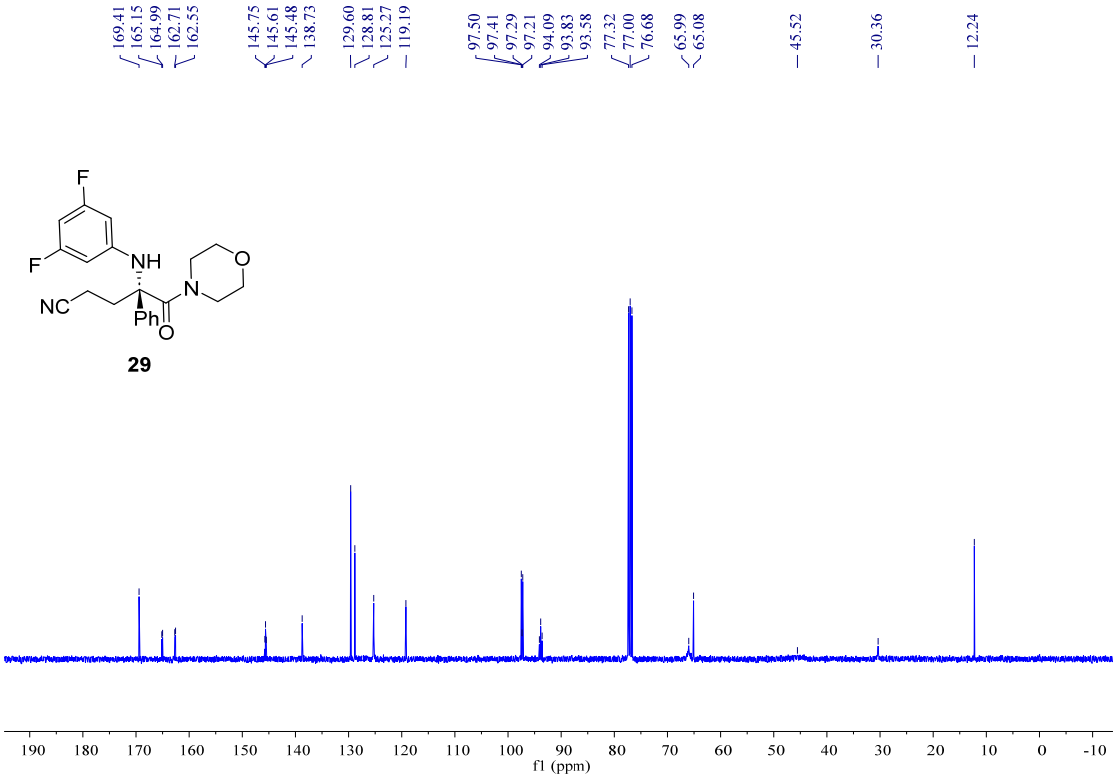

-63.38
F3C
F3C
NH
Me
N
OMe
NC
Ph
O
27
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

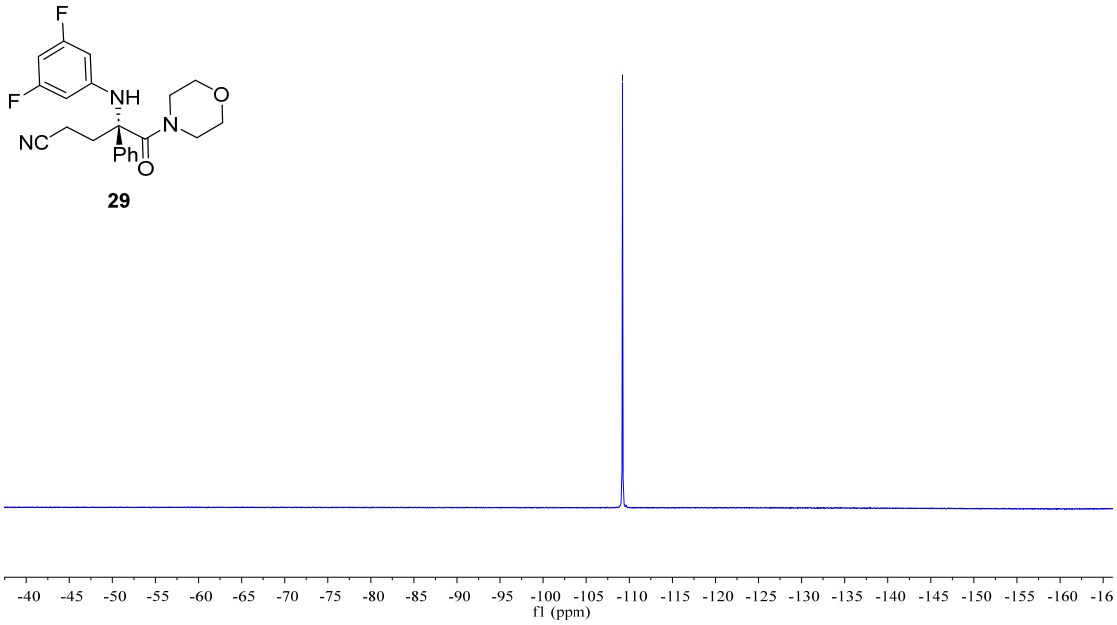

7.48 7.48 7.46 7.44 7.40 7.40 7.38 7.38 7.37 7.36 6.25 6.23 6.22 6.21 6.20 6.19 6.17 6.16 5.60
3.43 3.33 2.91 2.90 2.89 2.87 2.86 2.85 2.84 2.68 2.66 2.66 2.64 2.64 2.62 2.62 2.60 2.38 2.37 2.36 2.34 2.34 2.32 2.32 2.30 2.12 2.10 2.10 2.08 2.06 2.06 2.04 2.04 0.00
F
F
NH
O
N
NC
Ph
O
28
2.00
3.00
2.00
1.00
8.01
1.04
1.01
1.00
1.00
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)


169.40 153.00 152.94 152.90 152.84 150.55 150.49 150.45 150.39 139.48 139.46 139.37 139.34 139.26 139.23 138.68 134.21 131.80 129.63 128.87 125.35 119.13 98.60 98.59 98.52 98.42 98.41 98.35 98.34 77.32 77.00 76.68 65.96 65.15 45.27 30.05 12.19
F
F
NH
O
N
NC
Ph
O
28
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

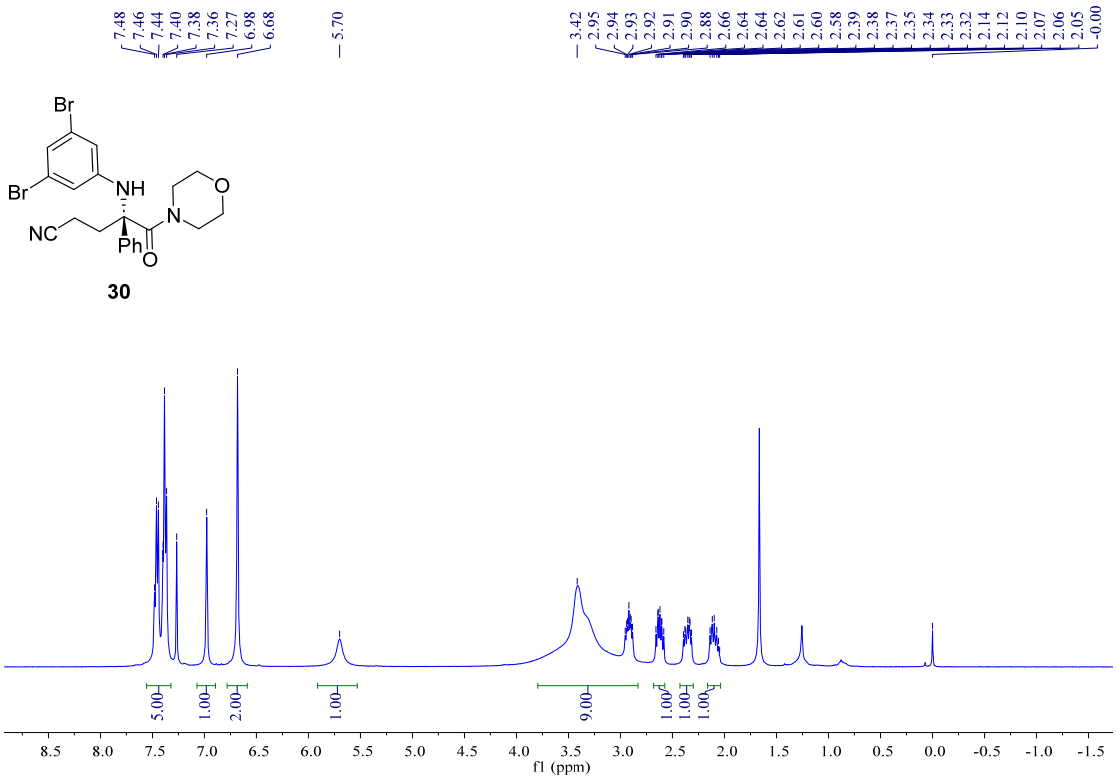

-133.80
-133.86
-173.93
F
F
F
NH
O
N
NC
Ph
O
28
-70 -75 -80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135 -140 -145 -150 -155 -160 -165 -170 -175 -180 -185 -190 -195 -200 -205 -210 -215
f1 (ppm)


7.48 7.47 7.46 7.45 7.40 7.40 7.39 7.39 7.38 7.37 7.27 6.19 6.19 6.18 6.17 6.16 6.15 6.15 6.14 6.13 5.70 3.43 3.43 3.32 2.95 2.94 2.93 2.92 2.90 2.89 2.88 2.69 2.68 2.67 2.66 2.66 2.64 2.64 2.62 2.37 2.36 2.35 2.33 2.33 2.31 2.30 2.29 2.13 2.11 2.10 2.08 2.07 2.06 2.04 2.02 0.00
F
F
NH
O
N
NC
Ph
O
29
5.00 3.00 0.96 9.05 1.02 1.00 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 -0.5 -1.0
f1 (ppm)


169.41 165.15 164.99 162.71 162.55 145.75 145.61 145.48 138.73 129.60 128.81 125.27 119.19 97.50 97.41 97.29 97.21 94.09 93.83 93.58 77.32 77.00 76.68 65.99 65.08 45.52 30.36 12.24
F
F
NH
O
N
NC
Ph
O
29
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-109.21
F
F
NH
O
N
NC
Ph
O
-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 -16
f1 (ppm)

29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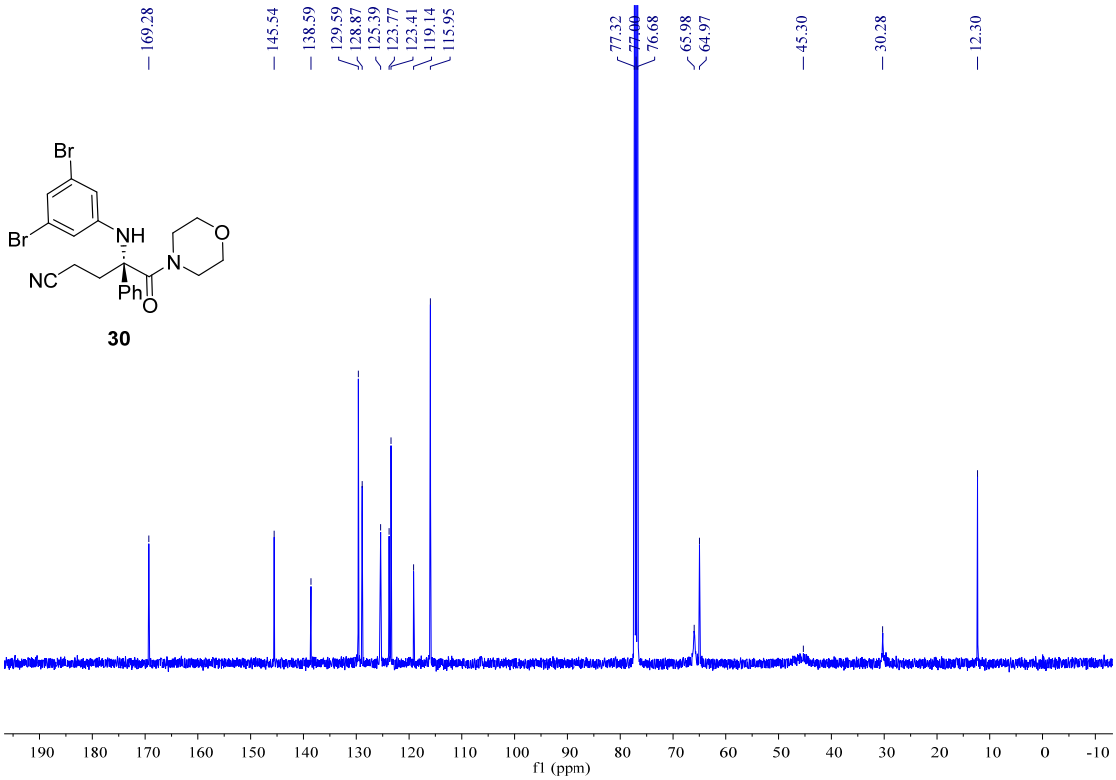
7.46
7.44
7.40
7.38
7.36
7.27
6.98
6.68
5.70
3.42
2.95
2.94
2.93
2.92
2.91
2.90
2.88
2.66
2.64
2.64
2.62
2.61
2.60
2.58
2.39
2.38
2.37
2.35
2.34
2.33
2.32
2.14
2.12
2.10
2.07
2.06
2.05
0.00
Br
Br
NH
O
N
NC
Ph
O
30
5.00
1.00
2.00
1.00
9.00
1.00
1.00
1.00
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5
f1 (ppm)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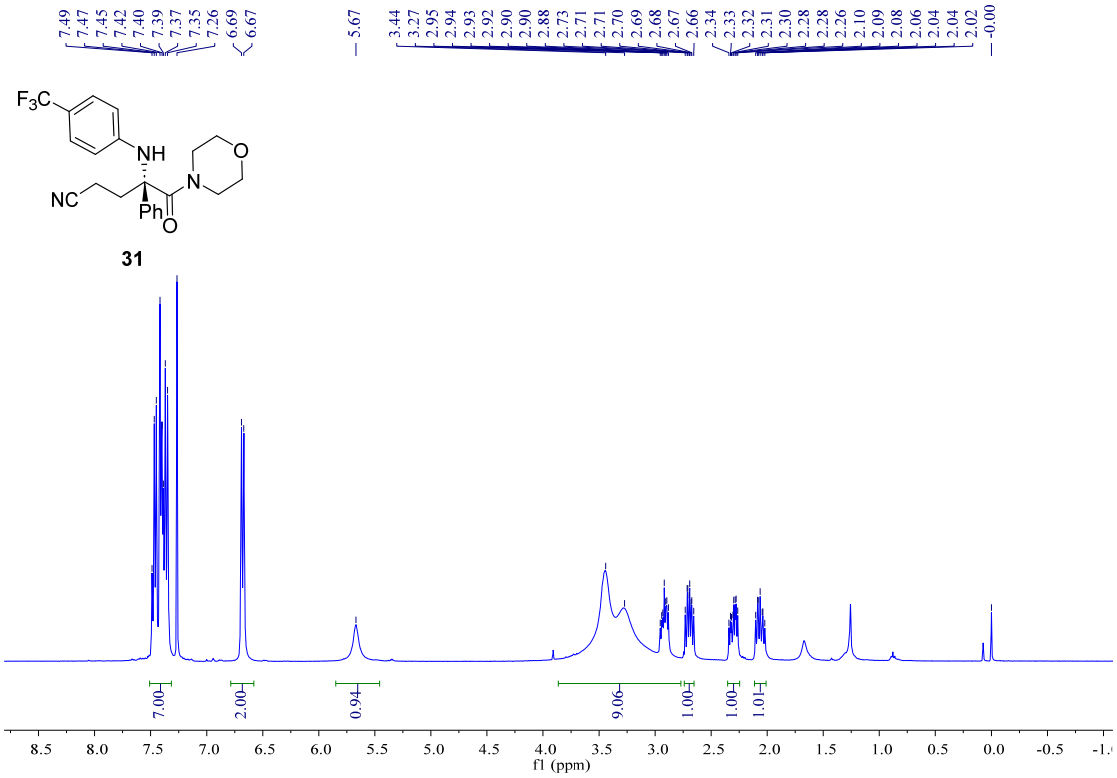
145.54
138.59
129.59
128.87
125.39
123.77
123.41
119.14
115.95
77.32
77.00
76.68
65.98
64.97
45.30
30.28
12.30
Br
Br
NH
O
N
NC
Ph
O
30
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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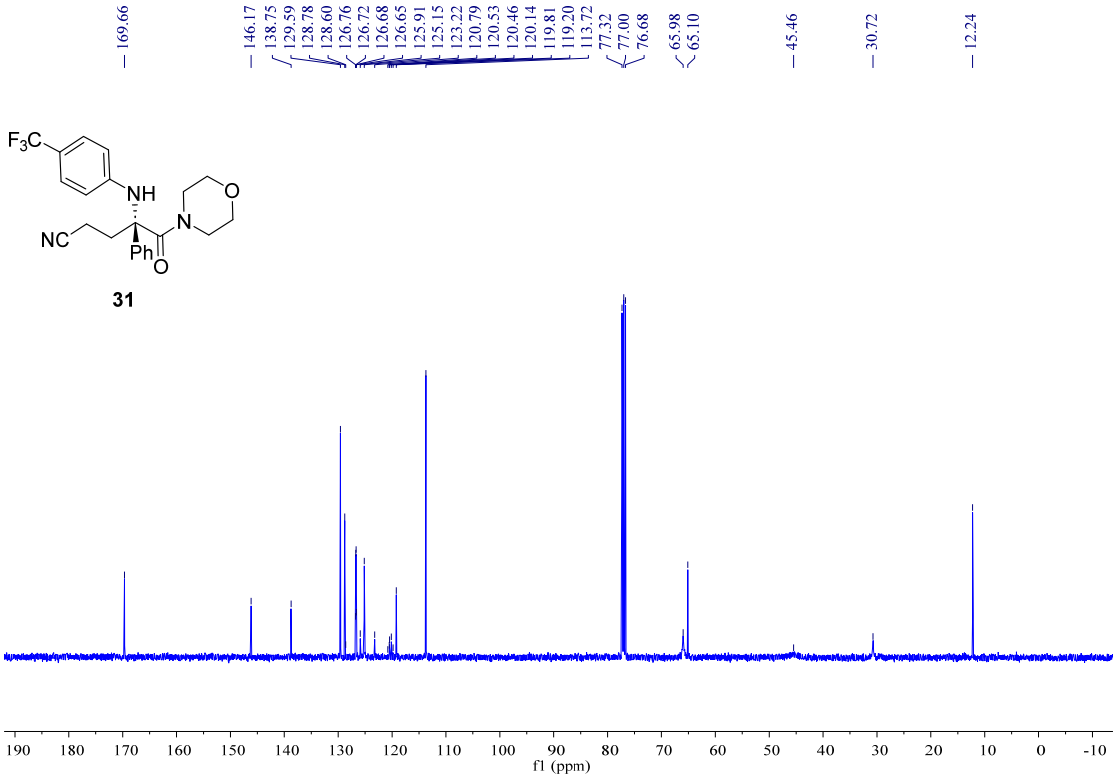
7.47
7.45
7.42
7.40
7.39
7.37
7.35
7.26
6.69
6.67
5.67
3.44
3.27
2.95
2.94
2.93
2.92
2.90
2.90
2.88
2.73
2.71
2.71
2.70
2.69
2.68
2.67
2.66
2.34
2.33
2.32
2.31
2.30
2.28
2.28
2.26
2.10
2.09
2.08
2.06
2.04
2.04
2.02
-0.00
F3C
NH
O
N
NC
Ph
O
31
7.00
2.00
0.94
9.06
1.00
1.00
1.01
f1 (ppm)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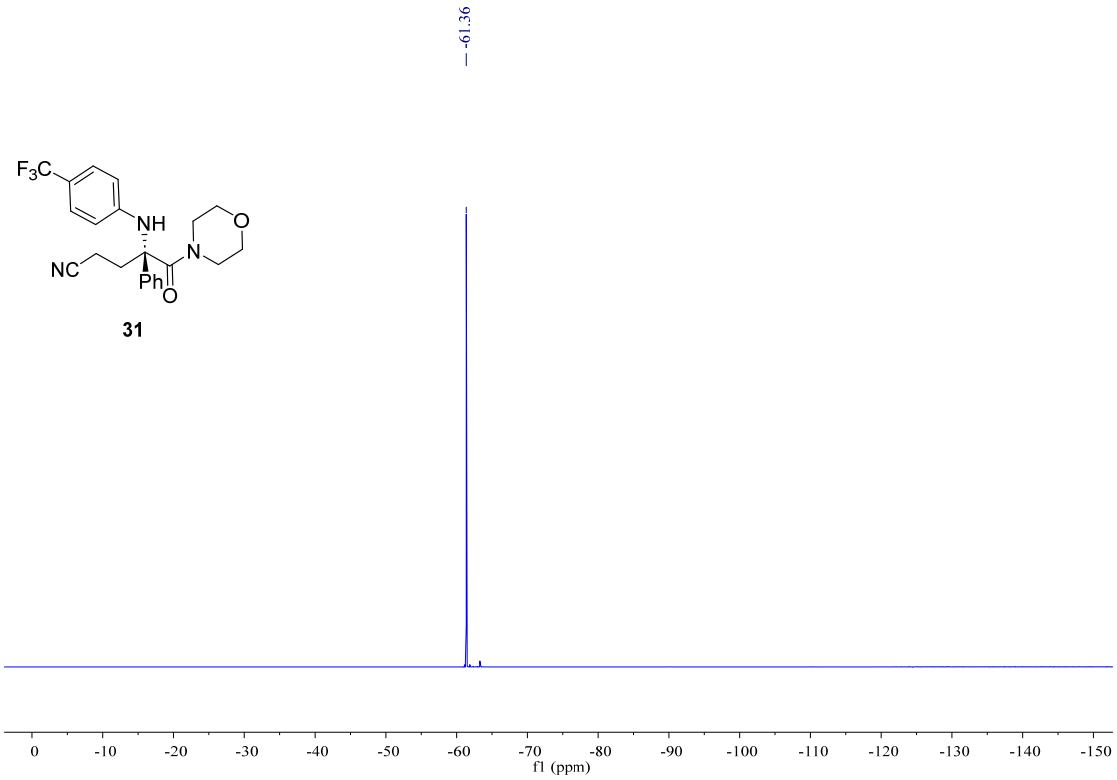
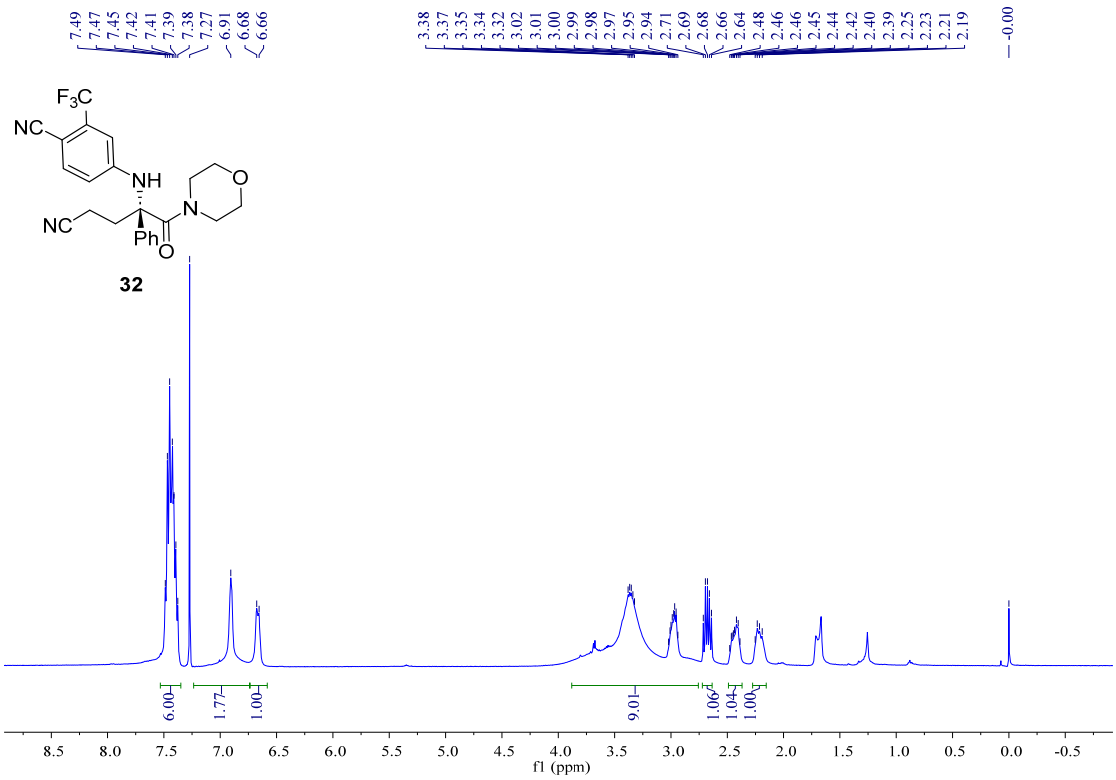
146.17
138.75
129.59
128.78
128.60
126.76
126.72
126.68
126.65
125.91
125.15
123.22
120.79
120.53
120.46
120.14
119.81
119.20
113.72
77.32
77.00
76.68
65.98
65.10
45.46
30.72
12.24
F3C
NH
O
N
NC
Ph
O
31
f1 (ppm)


-61.36
F3C
NH
O
N
NC
Ph
O
31
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)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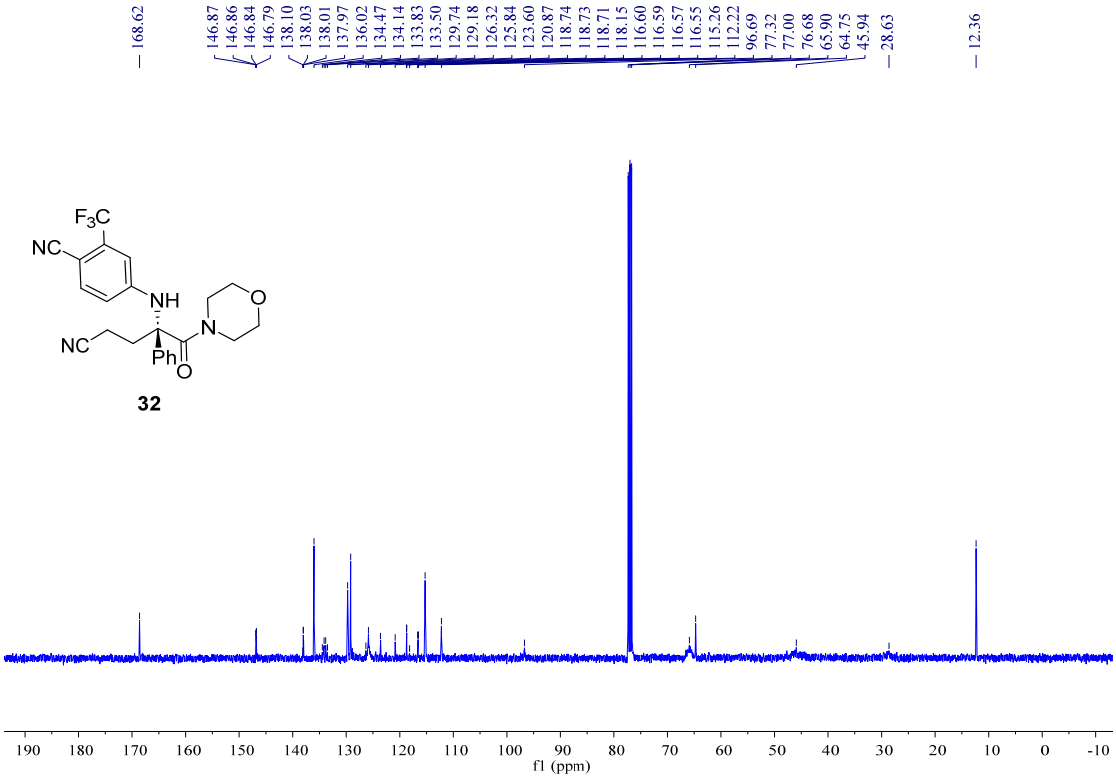
7.47
7.45
7.42
7.41
7.39
7.38
7.27
6.91
6.68
6.66
3.38
3.37
3.35
3.34
3.32
3.02
3.01
3.00
2.99
2.98
2.97
2.95
2.94
2.71
2.69
2.68
2.66
2.64
2.48
2.46
2.46
2.45
2.44
2.42
2.40
2.39
2.25
2.23
2.21
2.19
-0.00
F3C
NC
NH
O
N
NC
Ph
O
32
6.00
1.77
1.00
9.01
1.06
1.04
1.00
f1 (ppm)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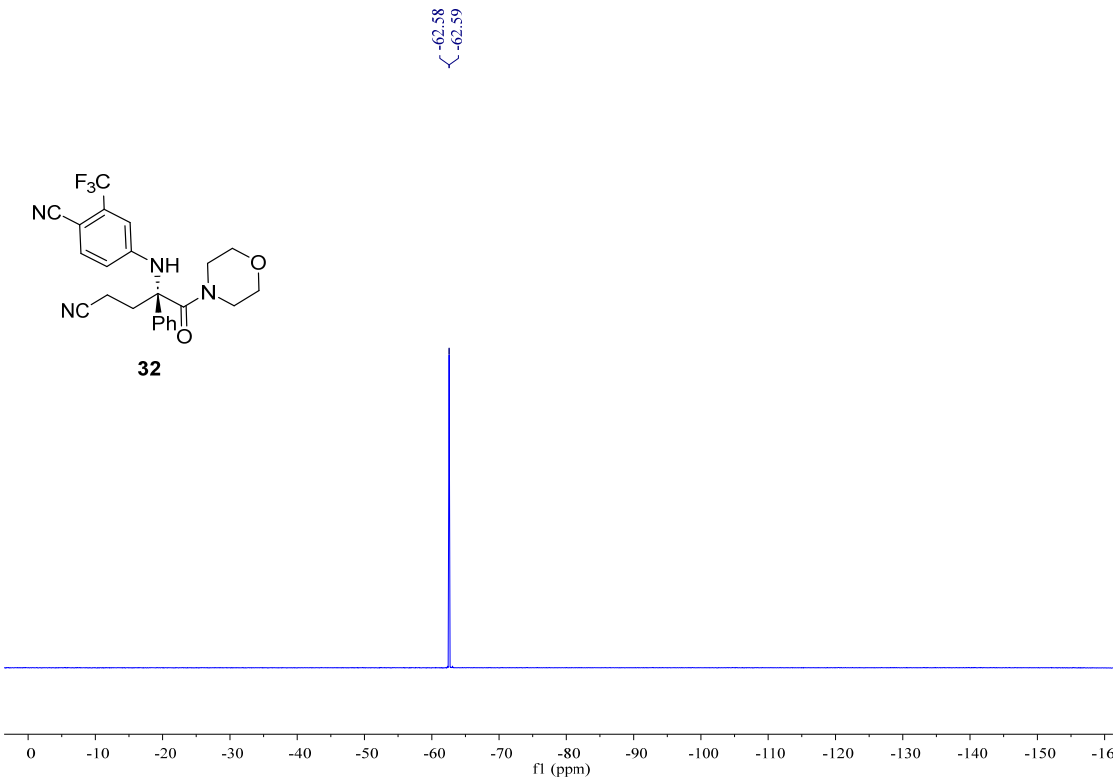
146.87
146.86
146.84
146.79
138.10
138.03
138.01
137.97
136.02
134.47
134.14
133.83
133.50
129.74
129.18
126.32
125.84
123.60
120.87
118.74
118.73
118.71
118.15
116.60
116.59
116.57
116.55
115.26
112.22
96.69
77.32
77.00
76.68
65.90
64.75
45.94
28.63
12.36
F3C
NC
NH
O
N
NC
Ph
O
32
f1 (ppm)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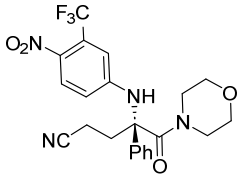
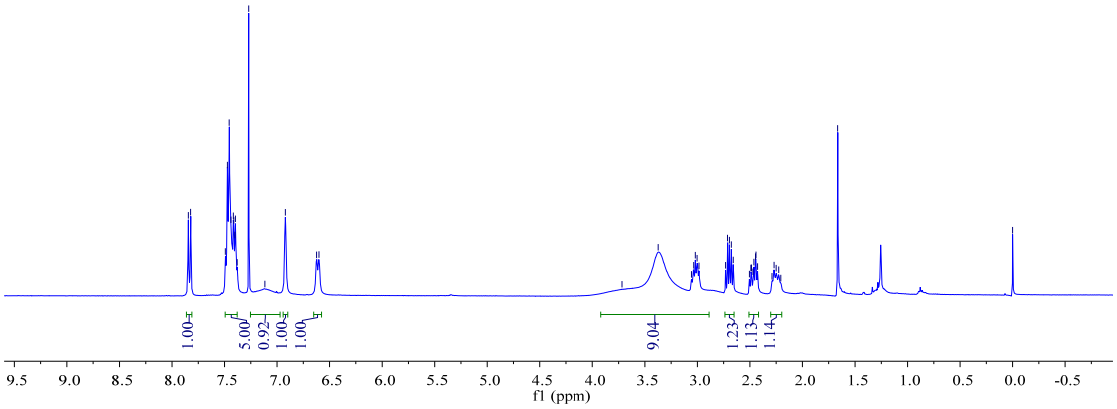
-62.59
F3C
NC
NH
O
N
NC
Ph
O
32
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

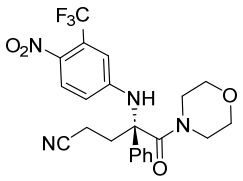

7.84
7.82
7.49
7.47
7.46
7.44
7.42
7.41
7.40
7.40
7.38
7.27
7.12
6.92
6.62
6.60
3.72
3.37
3.06
3.03
3.02
3.00
2.98
2.73
2.71
2.69
2.68
2.66
2.51
2.49
2.49
2.47
2.46
2.45
2.44
2.43
2.29
2.27
2.25
2.23
2.21
1.66
-0.00


F3C
O2N
NH
O
N
NC
Ph
O

33

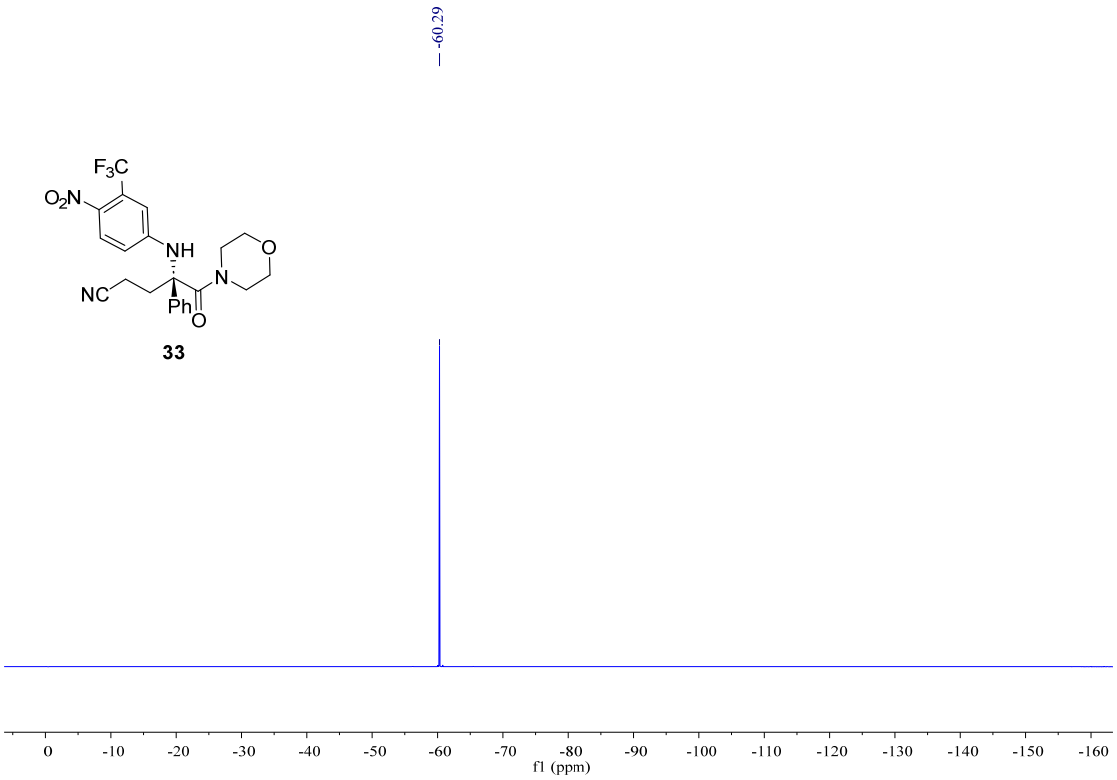

1.00
5.00
0.92
1.00
1.00
9.04
1.23
1.13
1.14
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


168.48
147.51
138.03
137.26
129.80
129.26
128.68
126.42
126.09
126.03
125.98
125.91
125.76
123.31
120.59
118.65
114.28
113.29
113.24
113.17
113.11
77.32
77.00
76.68
65.80
64.75
45.63
28.43
12.39

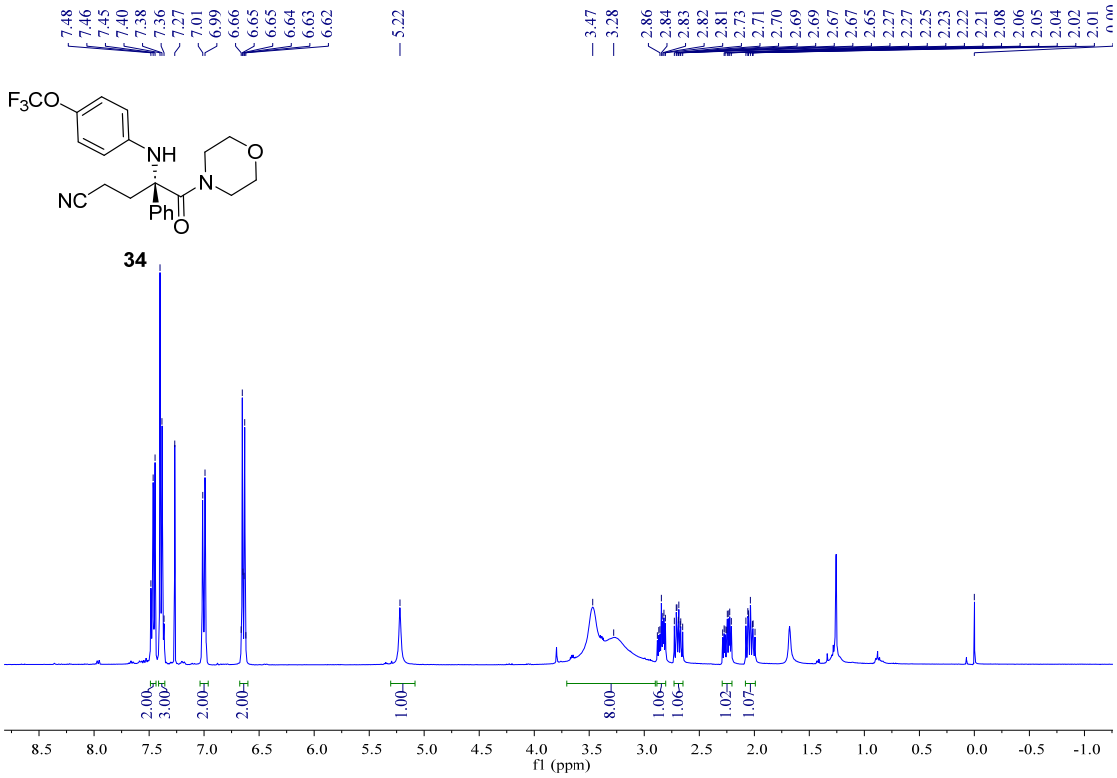

F3C
O2N
NH
O
N
NC
Ph
O

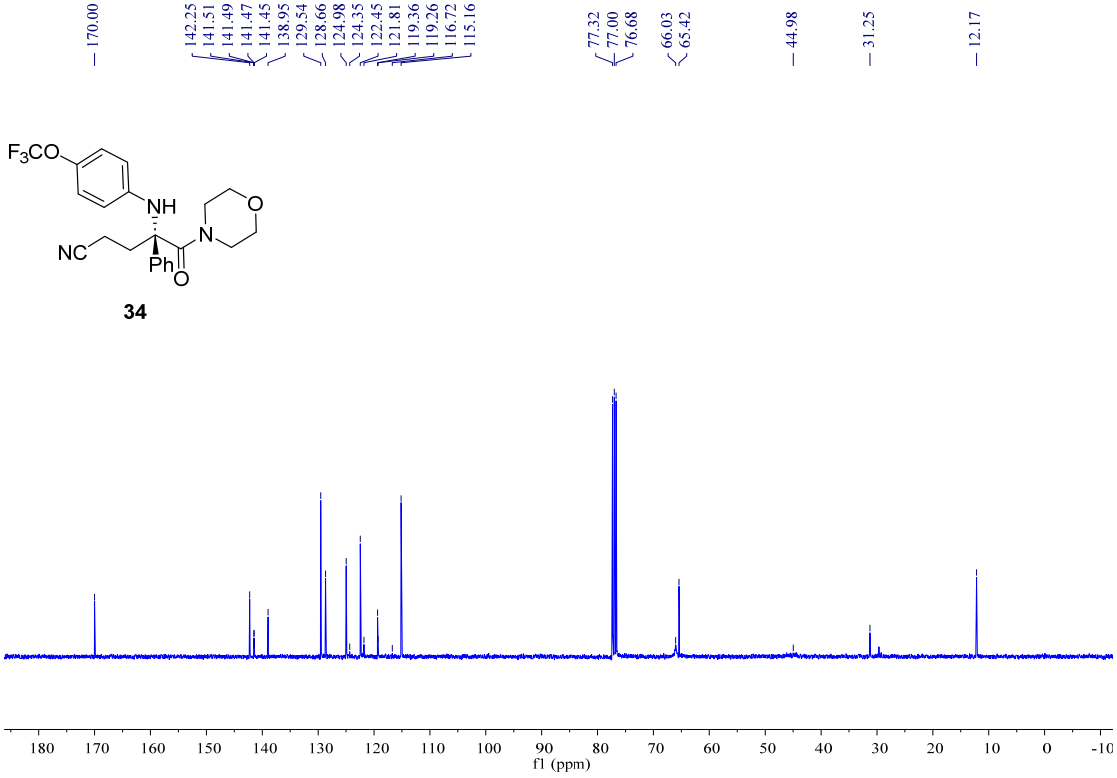
33

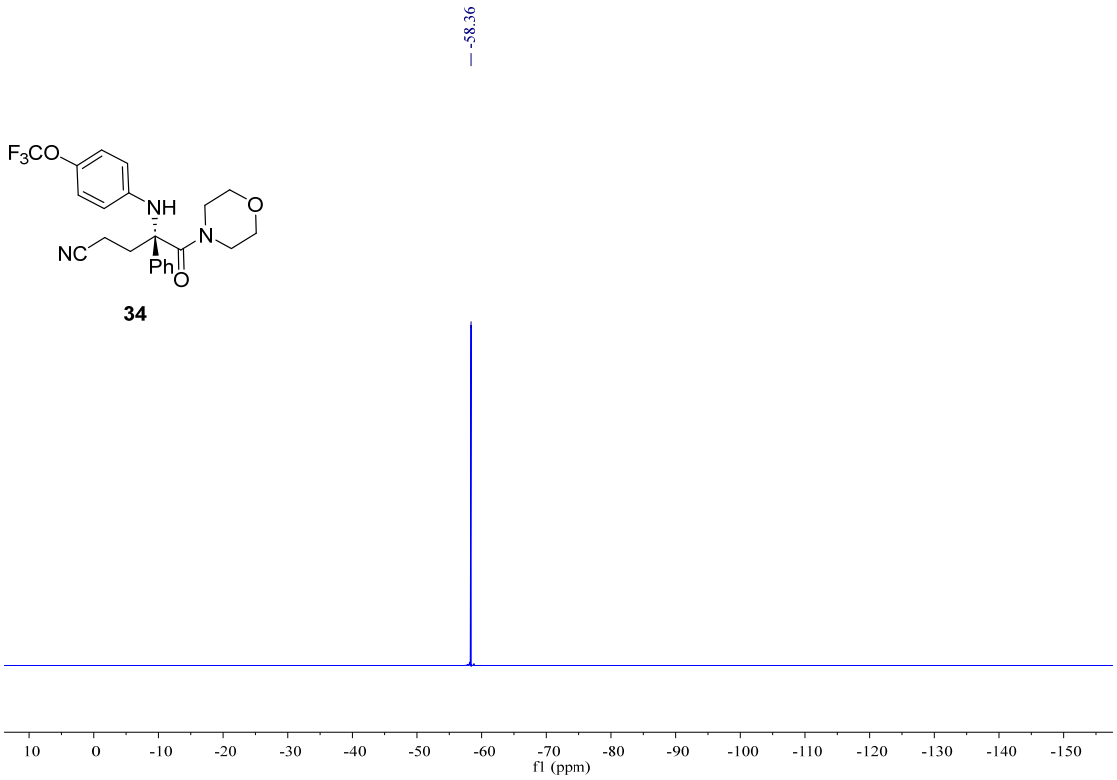
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

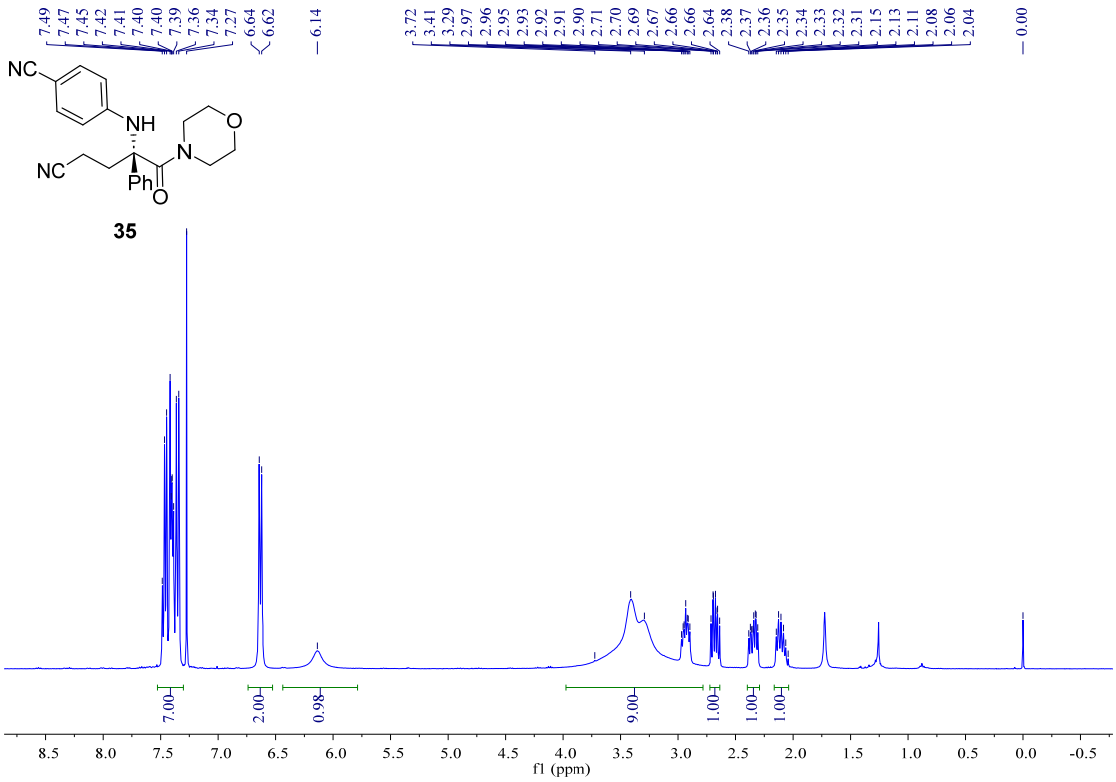

-60.29
F3C
O2N
NH
O
N
NC
Ph
O
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

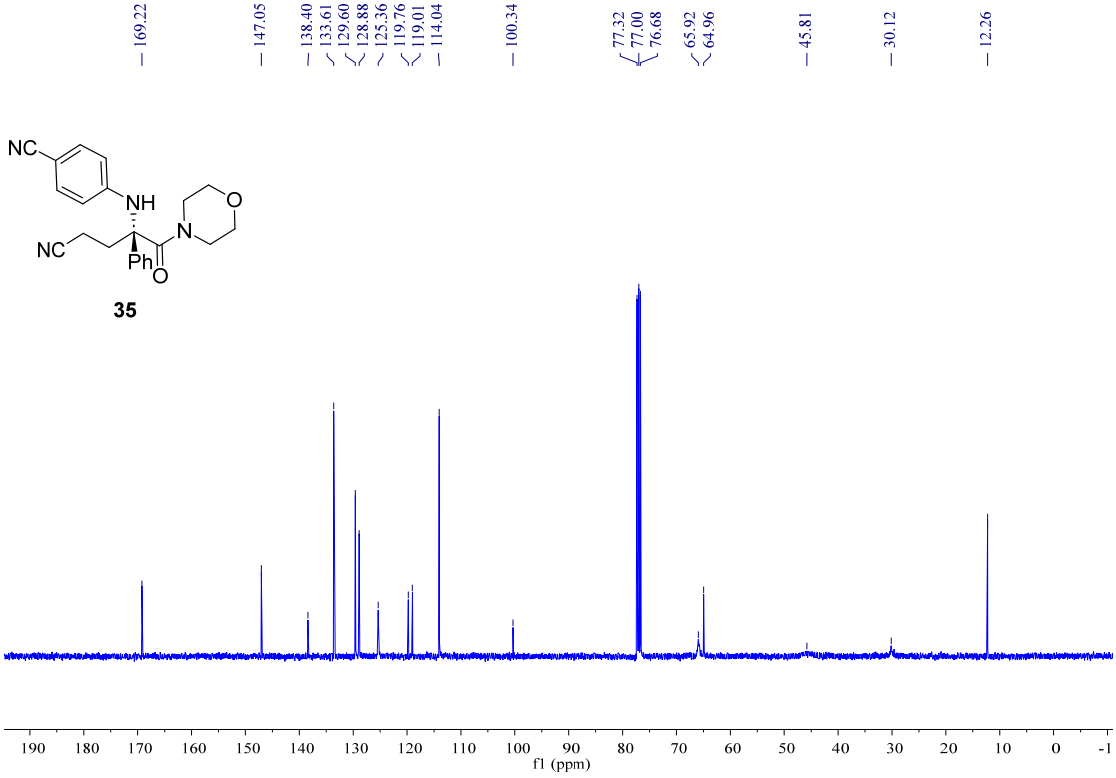
33


7.48
7.46
7.45
7.40
7.38
7.36
7.27
7.01
6.99
6.66
6.65
6.65
6.64
6.63
6.62
5.22
3.47
3.28
2.86
2.84
2.83
2.82
2.81
2.73
2.71
2.70
2.69
2.69
2.67
2.67
2.65
2.27
2.27
2.25
2.23
2.22
2.21
2.08
2.06
2.05
2.04
2.02
2.01
F3CO
NH
O
N
NC
Ph
O
34
2.00
3.00
2.00
2.00
1.00
8.00
1.06
1.06
1.02
1.07
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 -0.5 -1.0
f1 (ppm)


170.00
142.25
141.51
141.49
141.47
141.45
138.95
129.54
128.66
124.98
124.35
122.45
121.81
119.36
119.26
116.72
115.16
77.32
77.00
76.68
66.03
65.42
44.98
31.25
12.17
F3CO
NH
O
N
NC
Ph
O
34
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-58.36
F3CO
NH
O
N
NC
Ph
O
34
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)


7.49
7.47
7.45
7.42
7.41
7.40
7.40
7.39
7.36
7.34
7.27
6.64
6.62
6.14
3.72
3.41
3.29
2.97
2.96
2.95
2.93
2.92
2.91
2.90
2.71
2.70
2.69
2.67
2.66
2.66
2.64
2.38
2.37
2.36
2.35
2.34
2.33
2.32
2.31
2.15
2.13
2.11
2.08
2.06
2.04
0.00
NC
NH
O
N
NC
Ph
O
35
7.00
2.00
0.98
9.00
1.00
1.00
1.00
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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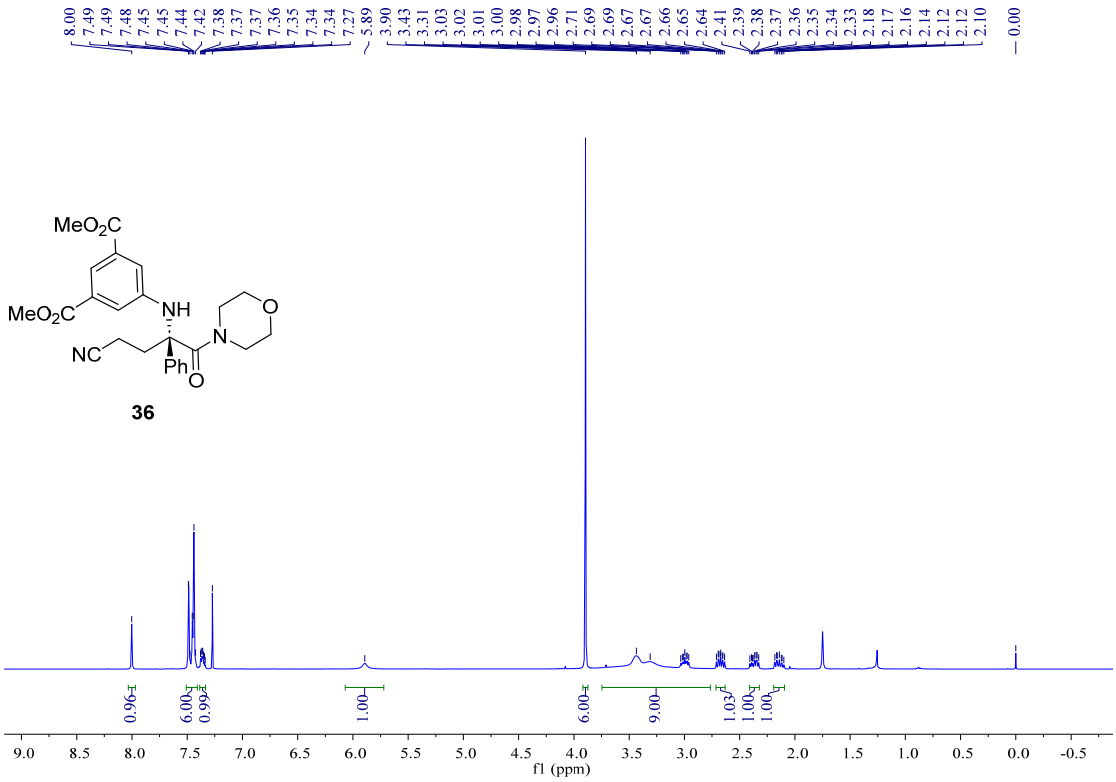
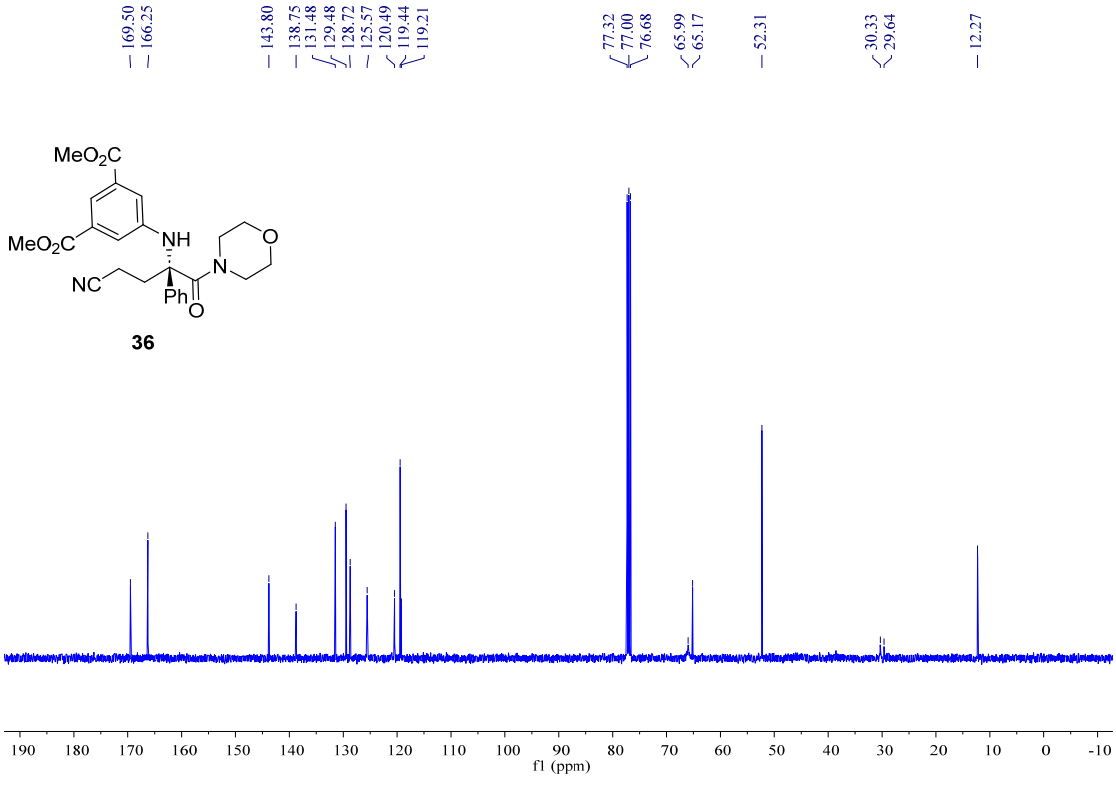
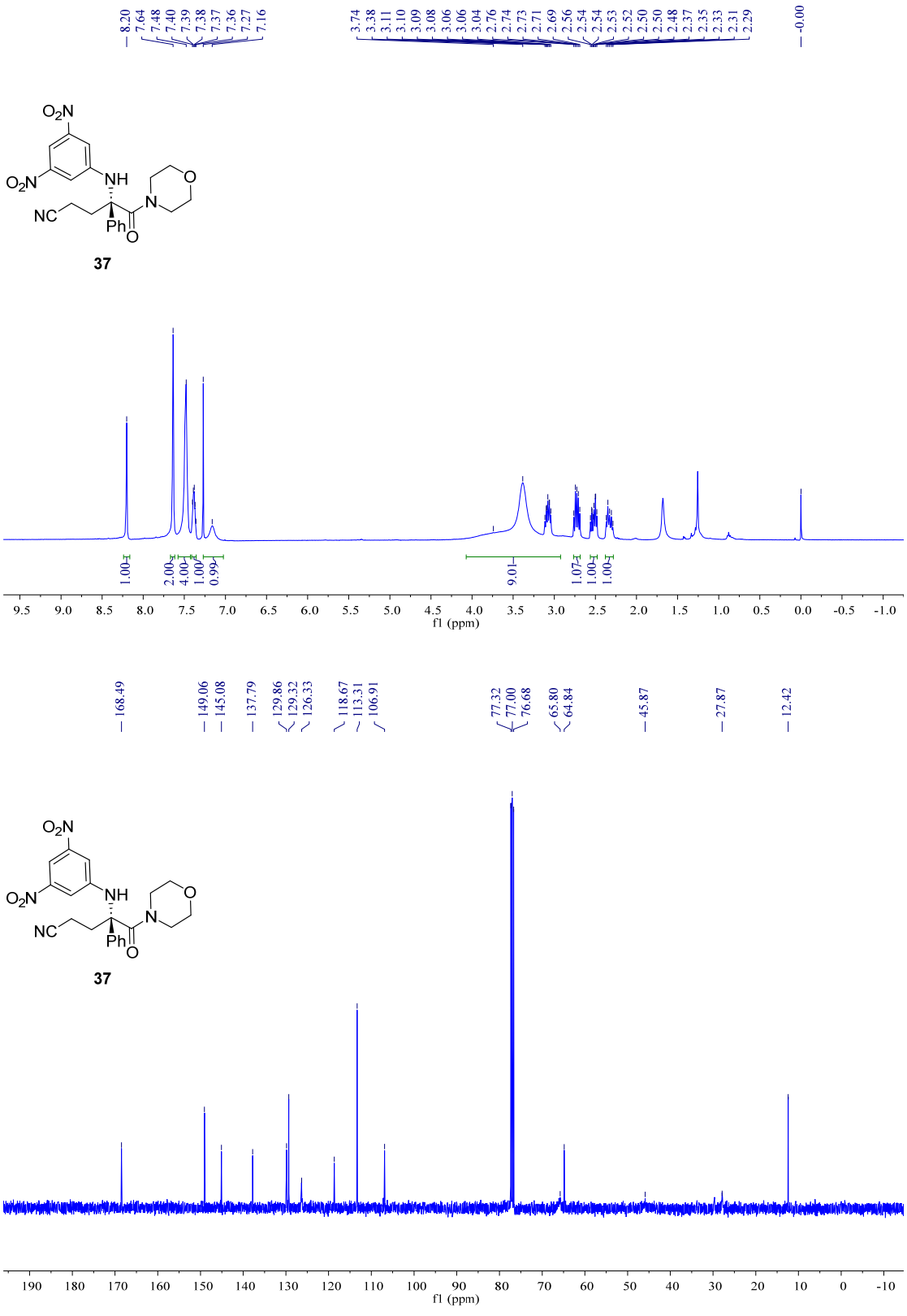
128.88
125.36
119.76
119.01
114.04
100.34
77.32
77.00
76.68
65.92
64.96
45.81
30.12
12.26
NC
NH
O
N
NC
Ph
O
35
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-1
f1 (ppm)


8.00 7.49 7.49 7.48 7.45 7.45 7.44 7.42 7.38 7.37 7.37 7.36 7.35 7.34 7.34 7.27 5.89 3.90 3.43 3.31 3.03 3.02 3.01 3.00 2.98 2.97 2.96 2.71 2.69 2.69 2.67 2.67 2.66 2.65 2.64 2.41 2.39 2.38 2.37 2.36 2.35 2.34 2.33 2.18 2.17 2.16 2.14 2.12 2.12 2.10 0.00
MeO2C
MeO2C
NH
NC
Ph
O
N
O
36
0.96 6.00 0.99 1.00 6.00 9.00 1.03 1.00 1.00
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)


169.50 166.25 143.80 138.75 131.48 129.48 128.72 125.57 120.49 119.44 119.21 77.32 77.00 76.68 65.99 65.17 52.31 30.33 29.64 12.27
MeO2C
MeO2C
NH
NC
Ph
O
N
O
36
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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7.40
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7.38
7.37
7.36
7.27
7.16
3.74
3.38
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3.10
3.09
3.08
3.06
3.06
3.04
2.76
2.74
2.73
2.71
2.69
2.56
2.54
2.54
2.53
2.52
2.50
2.50
2.48
2.37
2.35
2.33
2.31
2.29
-0.00
O2N
O2N
NH
O
N
NC
Ph
O
37
1.00
2.00
4.00
1.00
0.99
9.01
1.07
1.00
1.00
f1 (ppm)
168.49
149.06
145.08
137.79
129.86
129.32
126.33
118.67
113.31
106.91
77.32
77.00
76.68
65.80
64.84
45.87
27.87
12.42
O2N
O2N
NH
O
N
NC
Ph
O
37
f1 (ppm)


7.54
7.54
7.52
7.52
7.49
7.47
7.45
7.40
7.39
7.38
7.38
7.36
7.26
7.24
7.22
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6.94
6.93
6.92
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2.68
2.68
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2.41
2.40
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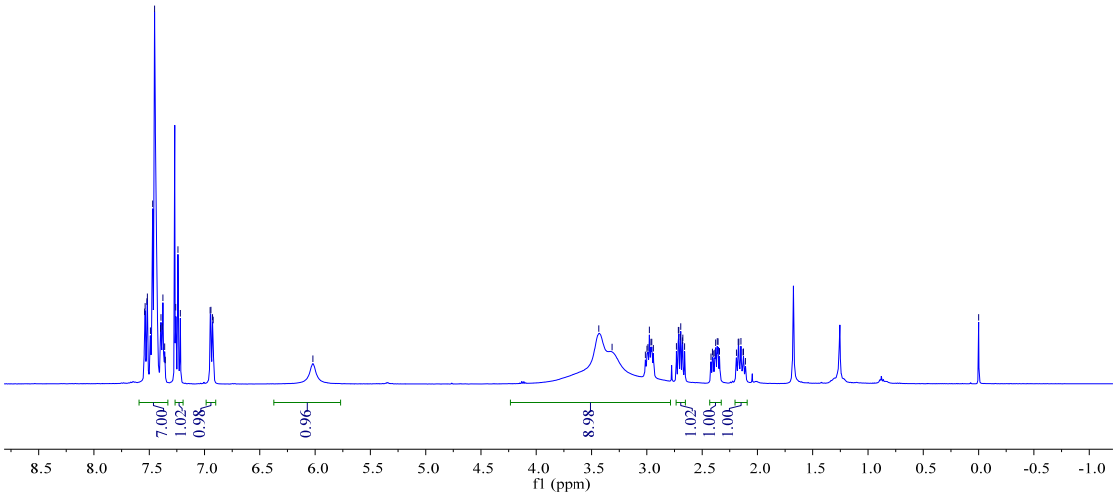
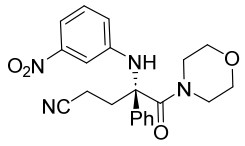
2.38
2.36
2.36
2.34
2.19
2.17
2.17
2.15
2.13
2.13
2.11
-0.00

O2N
NH
O
N
NC
Ph
O

38


7.00
1.02
0.98
0.96
8.98
1.02
1.00
1.00
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)

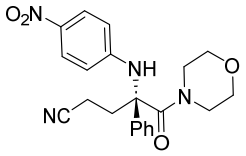

169.41
149.08
144.37
138.53
129.97
129.61
128.85
125.51
120.19
119.15
113.01
108.56
77.32
77.00
76.68
65.96
65.08
46.08
29.92
12.27


O2N
NH
O
N
NC
Ph
O

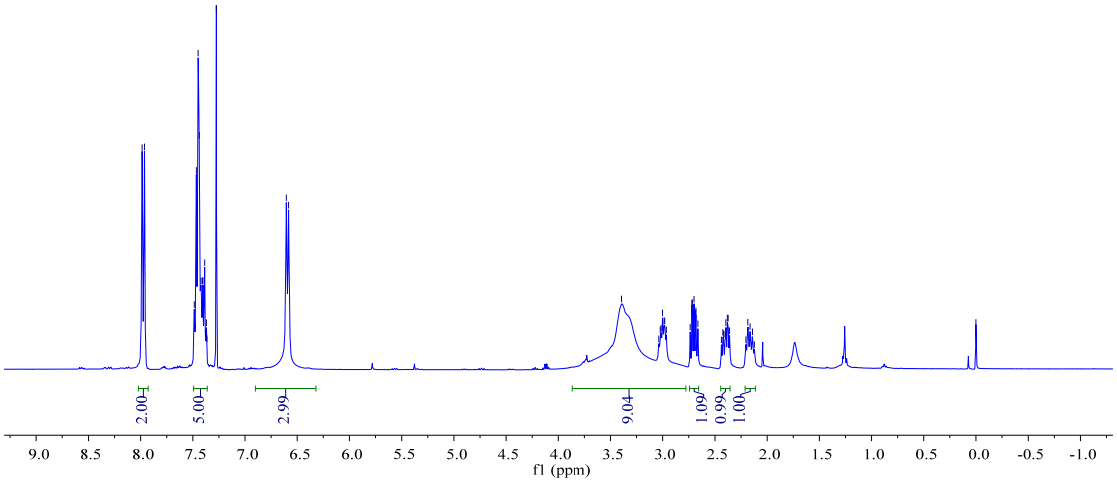
38

190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

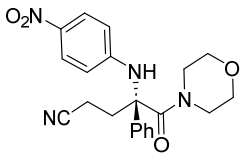

7.99
7.96
7.49
7.47
7.45
7.44
7.42
7.41
7.40
7.39
7.38
7.37
7.37
7.27
6.60
6.58
3.39
3.04
3.02
3.02
3.00
2.99
2.98
2.97
2.74
2.72
2.72
2.70
2.68
2.68
2.66
2.42
2.42
2.40
2.40
2.38
2.37
2.36
2.18
2.16
2.14


O2N
NH
O
N
NC
Ph
O

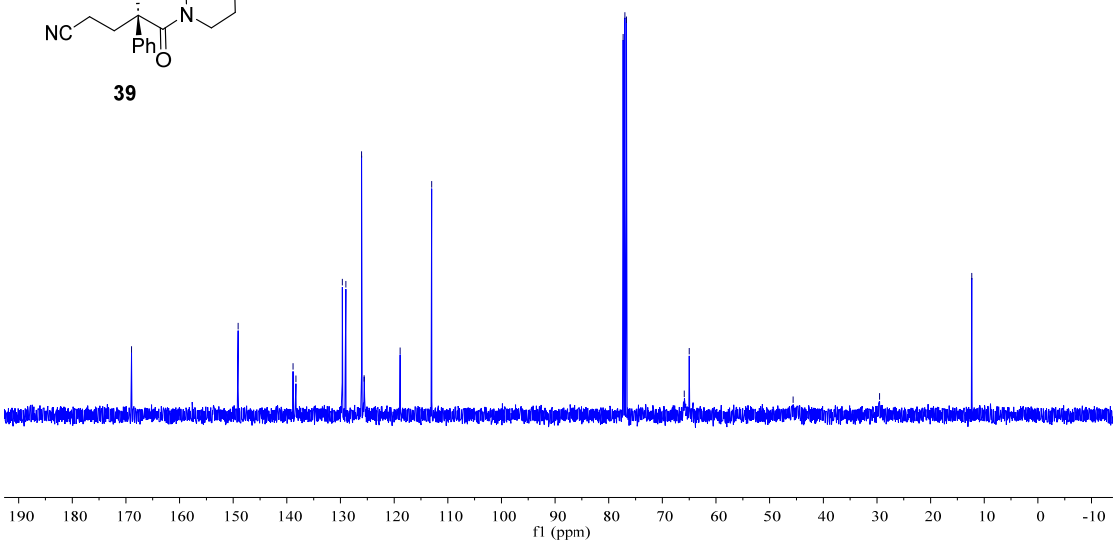
39

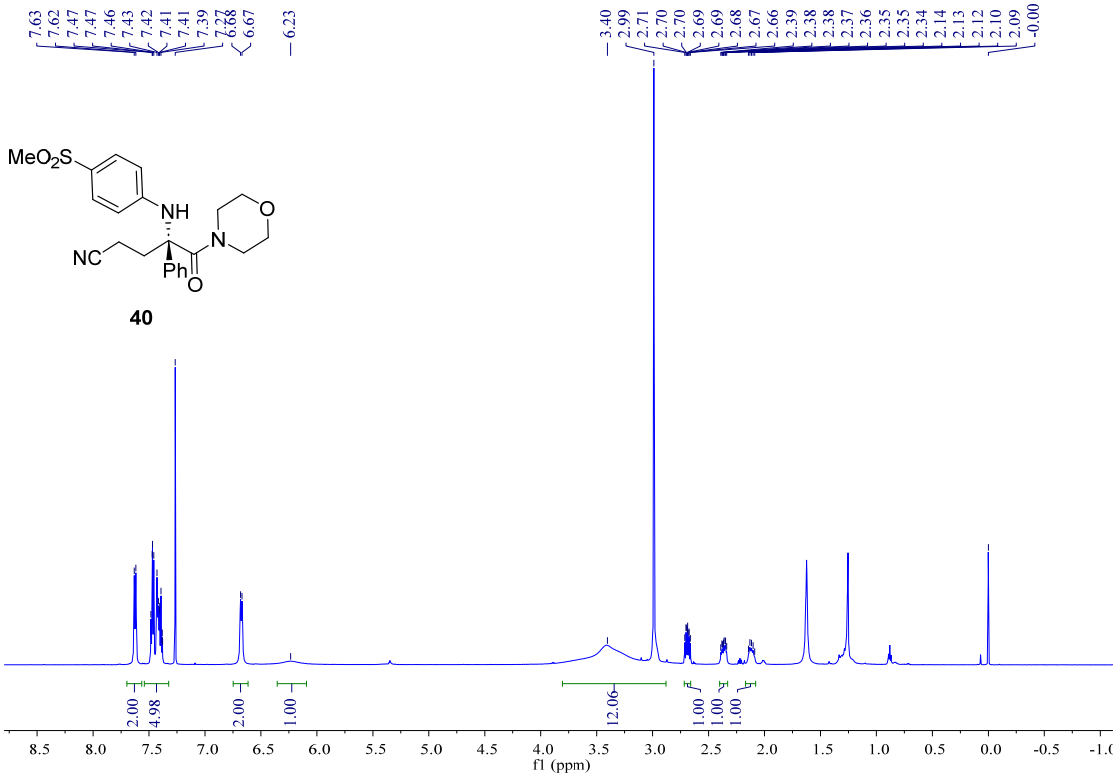

2.00
5.00
2.99
9.04
1.09
0.99
1.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

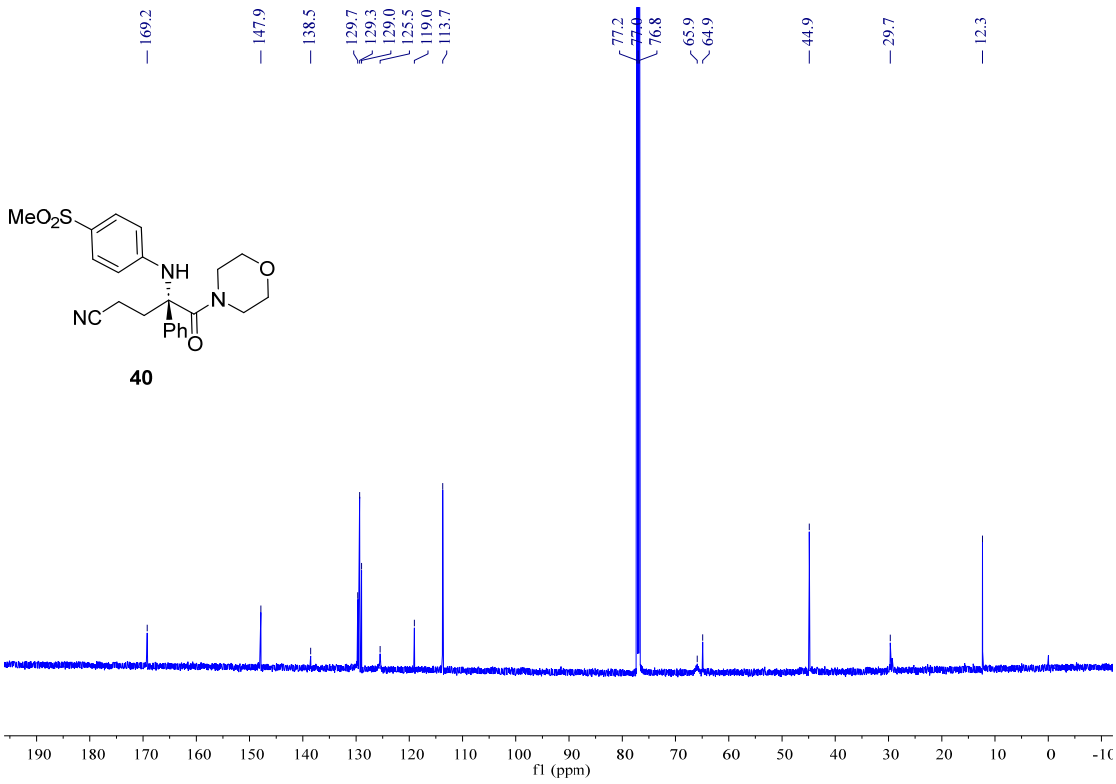

168.95
149.08
138.84
138.32
129.66
129.00
126.06
125.60
118.89
113.01
77.32
77.00
76.68
65.91
64.99
45.62
29.52
12.31

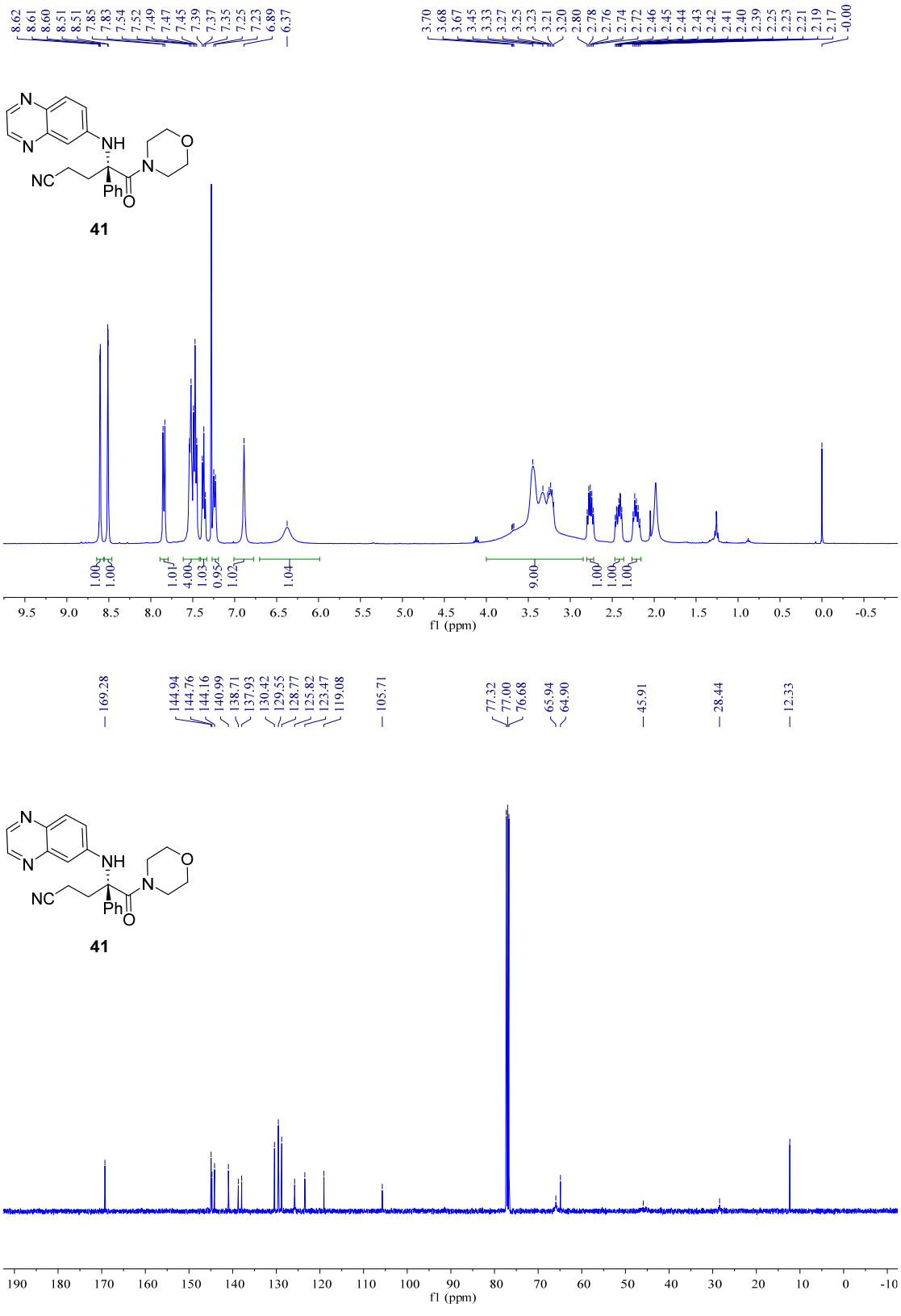

O2N
NH
O
N
NC
Ph
O

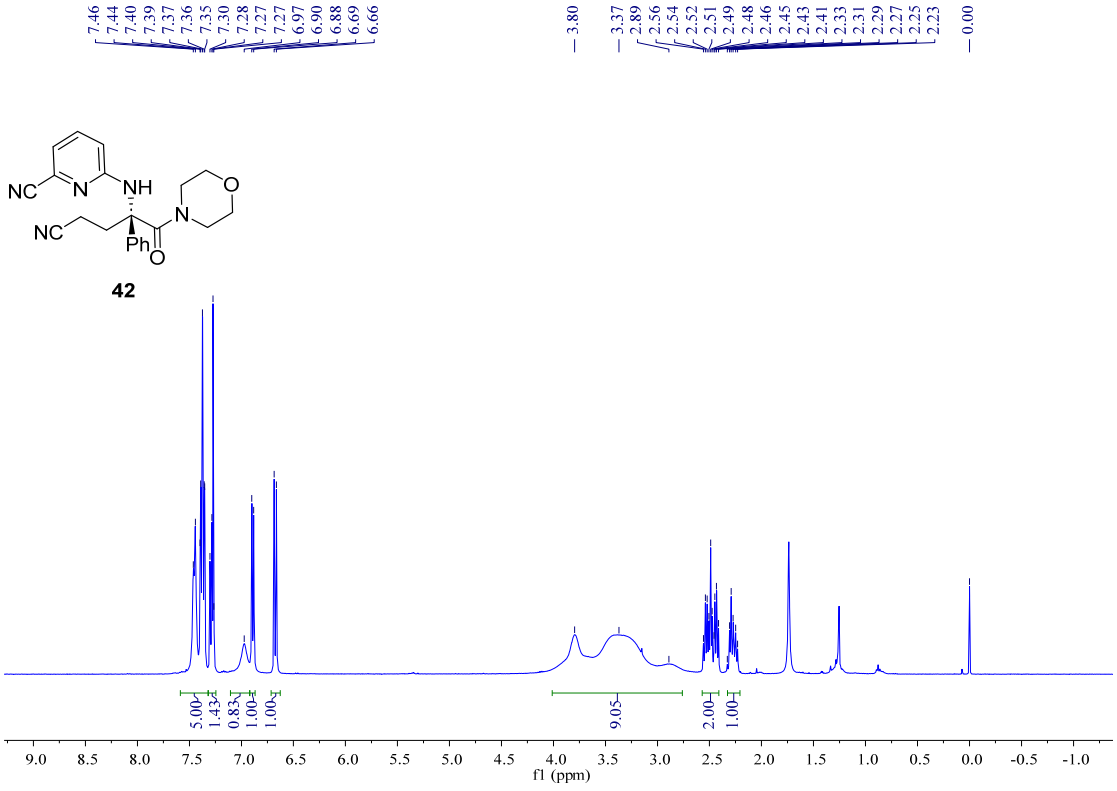
39

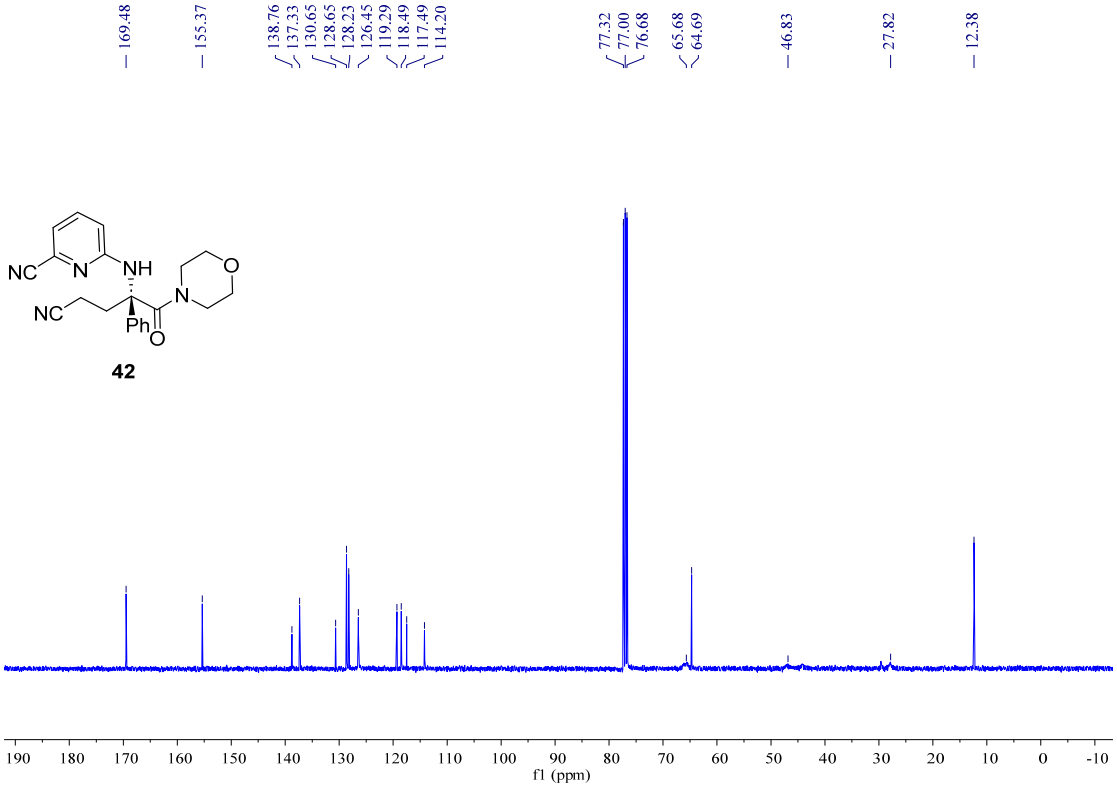

190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.63
7.62
7.47
7.47
7.46
7.43
7.42
7.41
7.41
7.39
7.27
6.68
6.67
6.23
3.40
2.99
2.71
2.70
2.70
2.69
2.69
2.68
2.67
2.66
2.39
2.38
2.38
2.37
2.36
2.35
2.35
2.34
2.14
2.13
2.12
2.10
2.09
-0.00
MeO2S
NH
O
N
NC
Ph
O
40
2.00
4.98
2.00
1.00
12.06
1.00
1.00
1.00
f1 (ppm)


169.2
147.9
138.5
129.7
129.3
129.0
125.5
119.0
113.7
77.2
77.0
76.8
65.9
64.9
44.9
29.7
12.3
MeO2S
NH
O
N
NC
Ph
O
40
f1 (ppm)

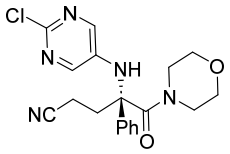

8.62
8.61
8.60
8.51
8.51
7.85
7.83
7.54
7.52
7.49
7.47
7.45
7.39
7.37
7.35
7.25
7.23
6.89
6.37
3.70
3.68
3.67
3.45
3.33
3.27
3.25
3.23
3.21
3.20
2.80
2.78
2.76
2.74
2.72
2.46
2.45
2.44
2.43
2.42
2.41
2.40
2.39
2.25
2.23
2.21
2.19
2.17
-0.00
N
N
NH
O
N
NC
Ph
O
41
1.00
1.00
1.01
4.00
1.03
0.95
1.02
1.04
9.00
1.00
1.00
1.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)
169.28
144.94
144.76
144.16
140.99
138.71
137.93
130.42
129.55
128.77
125.82
123.47
119.08
105.71
77.32
77.00
76.68
65.94
64.90
45.91
28.44
12.33
N
N
NH
O
N
NC
Ph
O
41
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.46
7.44
7.40
7.39
7.37
7.36
7.35
7.30
7.28
7.27
7.27
6.97
6.90
6.88
6.69
6.66
3.80
3.37
2.89
2.56
2.54
2.52
2.51
2.49
2.48
2.46
2.45
2.43
2.41
2.33
2.31
2.29
2.27
2.25
2.23
0.00
NC
N
NH
O
N
NC
Ph
O
42
5.00
1.43
0.83
1.00
1.00
9.05
2.00
1.00
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)

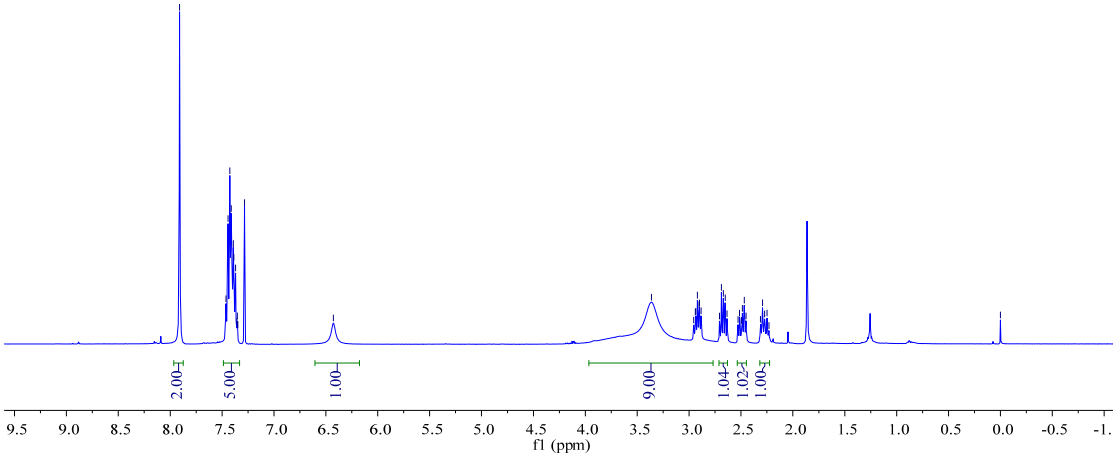

169.48
155.37
138.76
137.33
130.65
128.65
128.23
126.45
119.29
118.49
117.49
114.20
77.32
77.00
76.68
65.68
64.69
46.83
27.82
12.38
NC
N
NH
O
N
NC
Ph
O
42
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.91
7.46
7.44
7.43
7.41
7.39
7.39
7.37
7.36
7.35
7.35
7.28
6.43

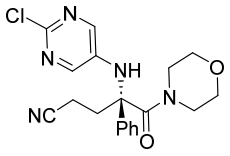

3.36
2.96
2.94
2.93
2.92
2.90
2.90
2.88
2.71
2.69
2.67
2.65
2.63
2.53
2.51
2.49
2.49
2.47
2.45
2.31
2.29
2.27
2.25
2.23
0.00


Cl
N
N
NH
O
N
NC
Ph
O

43

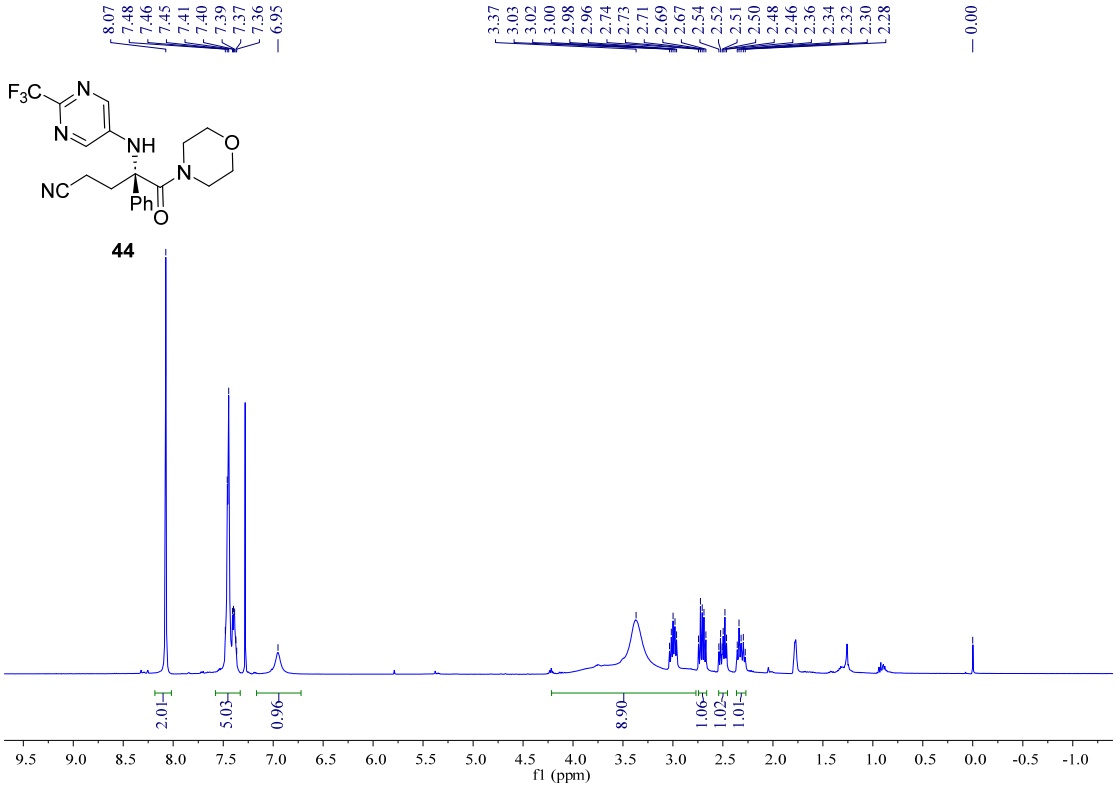

2.00
5.00
1.00
9.00
1.04
1.02
1.00
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.
f1 (ppm)

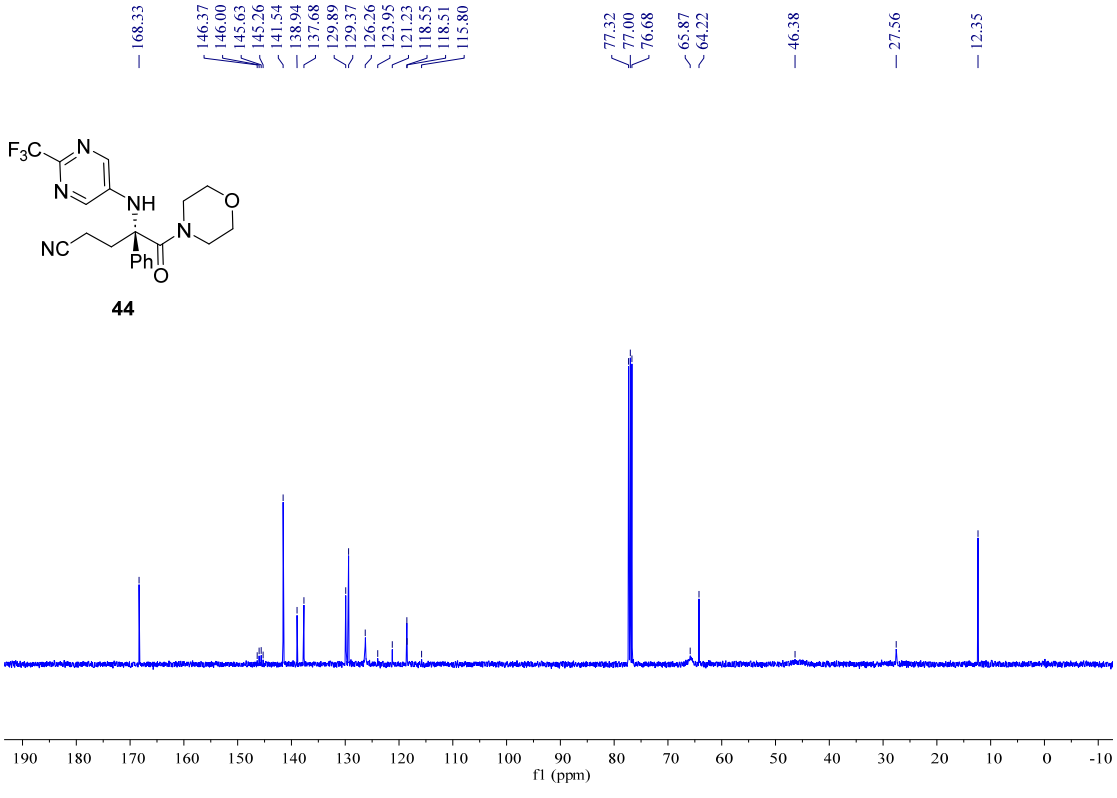

168.65
149.46
144.60
137.80
136.87
129.75
129.17
126.09
118.78
77.32
77.00
76.68
65.79
64.46
45.62
28.35
12.28

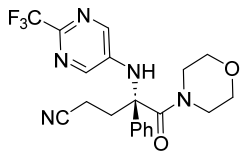

Cl
N
N
NH
O
N
NC
Ph
O

43

190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

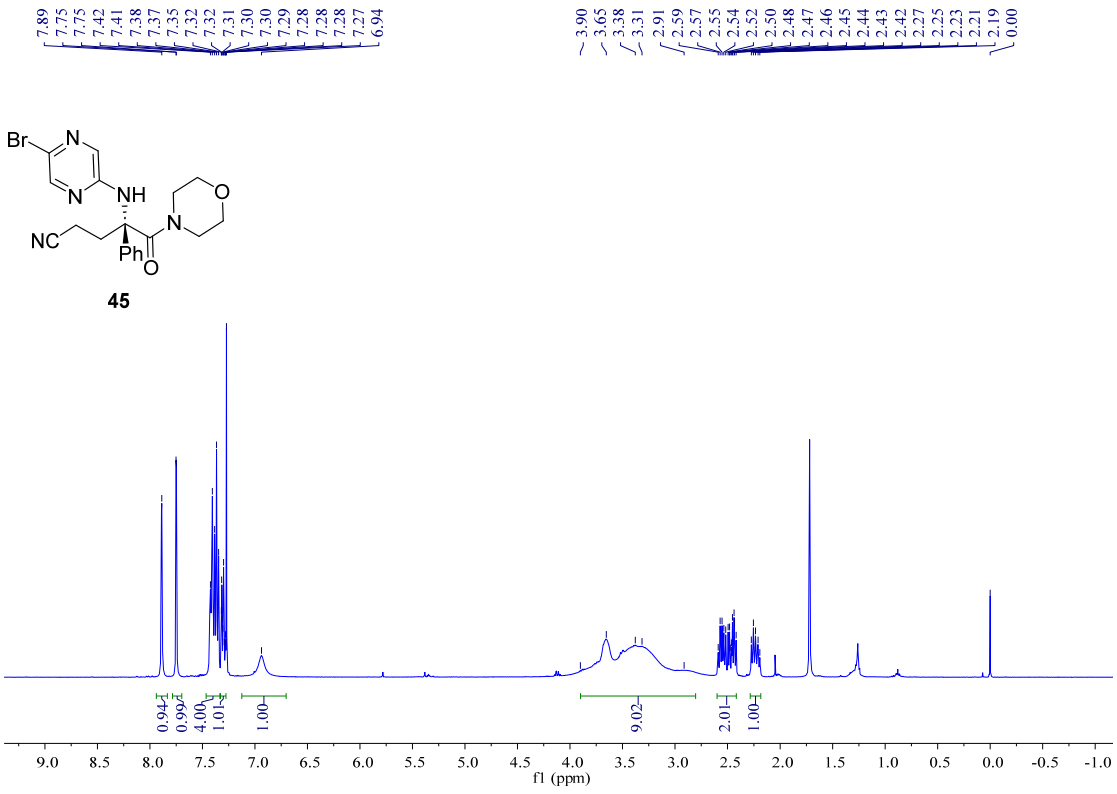

8.07
7.48
7.46
7.45
7.41
7.40
7.39
7.37
7.36
6.95
3.37
3.03
3.02
3.00
2.98
2.96
2.74
2.73
2.71
2.69
2.67
2.54
2.52
2.51
2.50
2.48
2.46
2.36
2.34
2.32
2.30
2.28
0.00
F3C
N
N
NH
O
N
NC
Ph
O
44
2.01
5.03
0.96
8.90
1.06
1.02
1.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

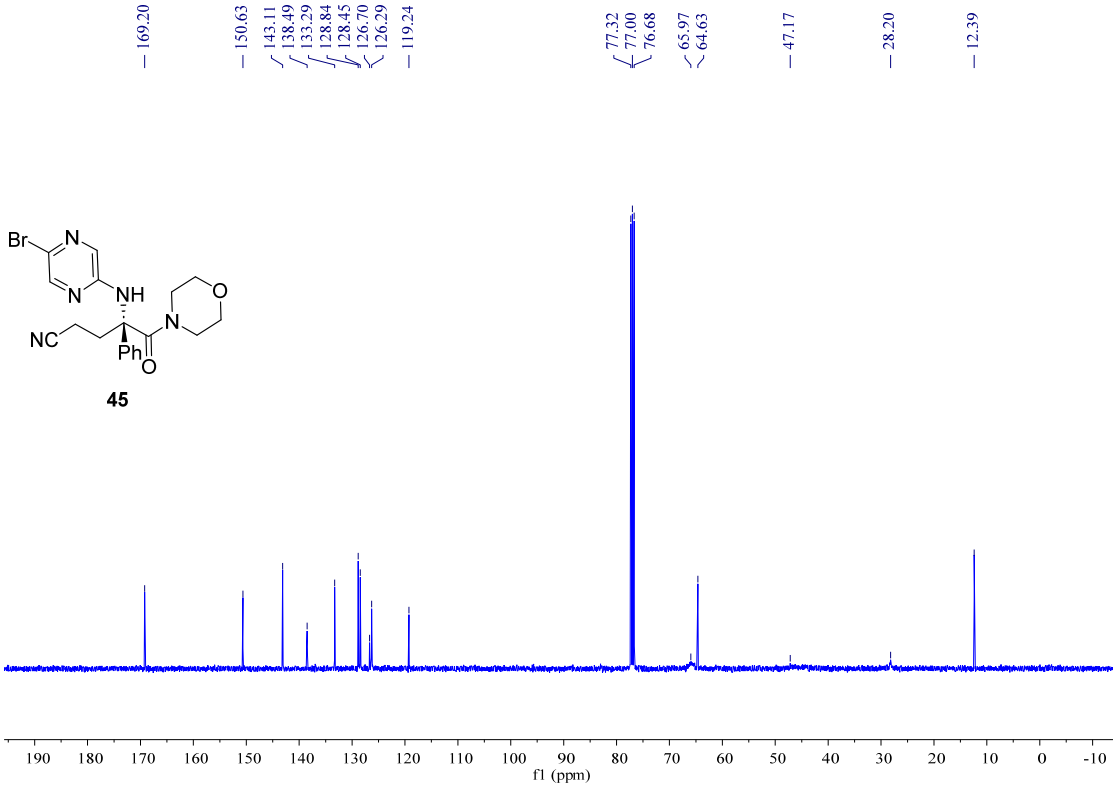

168.33
146.37
146.00
145.63
145.26
141.54
138.94
137.68
129.89
129.37
126.26
123.95
121.23
118.55
118.51
115.80
77.32
77.00
76.68
65.87
64.22
46.38
27.56
12.35
F3C
N
N
NH
O
N
NC
Ph
O
44
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


F3C
N
N
NH
O
N
NC
Ph
O

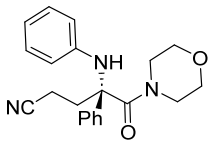
44

-69.12
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

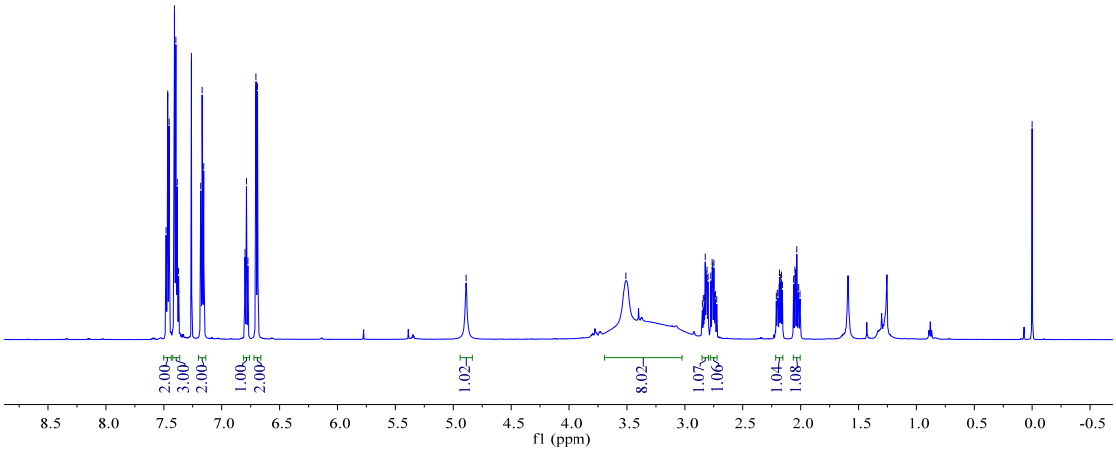

7.89
7.75
7.75
7.42
7.41
7.38
7.37
7.35
7.32
7.32
7.31
7.30
7.30
7.29
7.28
7.28
7.28
7.27
6.94
3.90
3.65
3.38
3.31
2.91
2.59
2.57
2.55
2.54
2.52
2.50
2.48
2.47
2.46
2.45
2.44
2.43
2.42
2.27
2.25
2.23
2.21
2.19
0.00
Br
N
N
NH
O
N
NC
Ph
O
45
0.94
0.99
4.00
1.01
1.00
9.02
2.01
1.00
9.0
8.5
8.0
7.5
7.0
6.5
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)

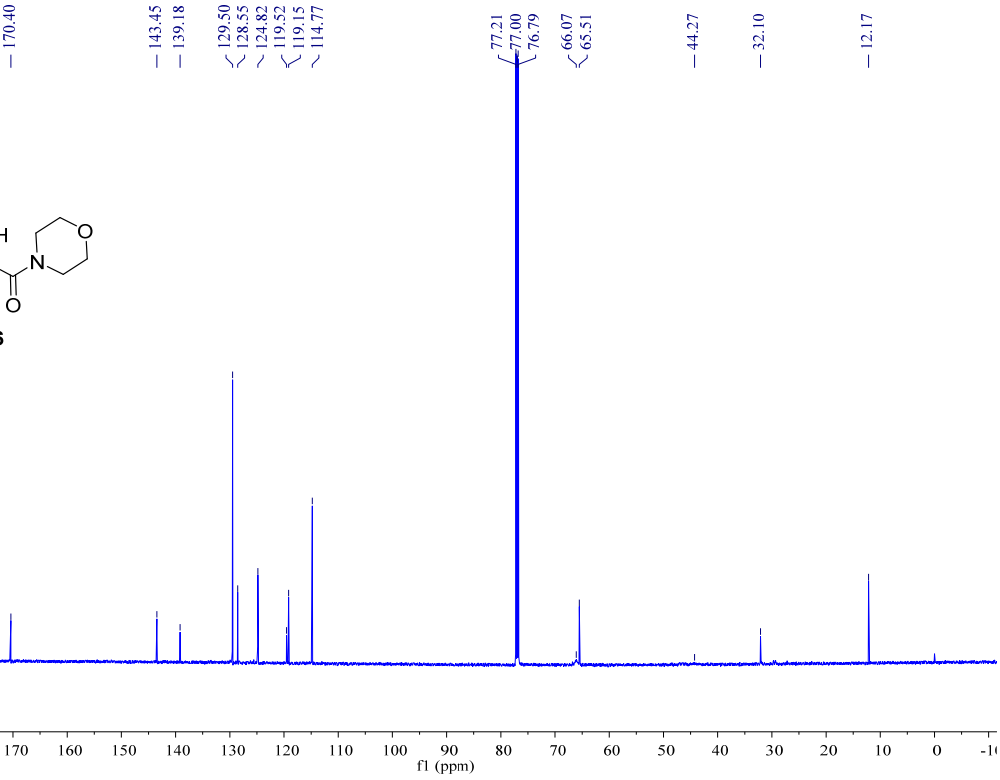

169.20
150.63
143.11
138.49
133.29
128.84
128.45
126.70
126.29
119.24
77.32
77.00
76.68
65.97
64.63
47.17
28.20
12.39
Br
N
N
NH
O
N
NC
Ph
O
45
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

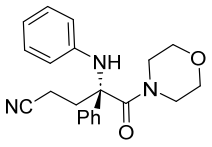

7.48
7.47
7.45
7.41
7.40
7.38
7.37
7.26
7.18
7.17
7.15
6.80
6.79
6.77
6.70
6.69
4.89
3.51
2.85
2.84
2.83
2.82
2.82
2.81
2.80
2.78
2.76
2.76
2.75
2.75
2.74
2.74
2.72
2.21
2.20
2.19
2.19
2.18
2.17
2.17
2.16
2.06
2.05
2.04
2.03
2.02
2.02
2.01
0.00


NH
O
N
NC
Ph
O

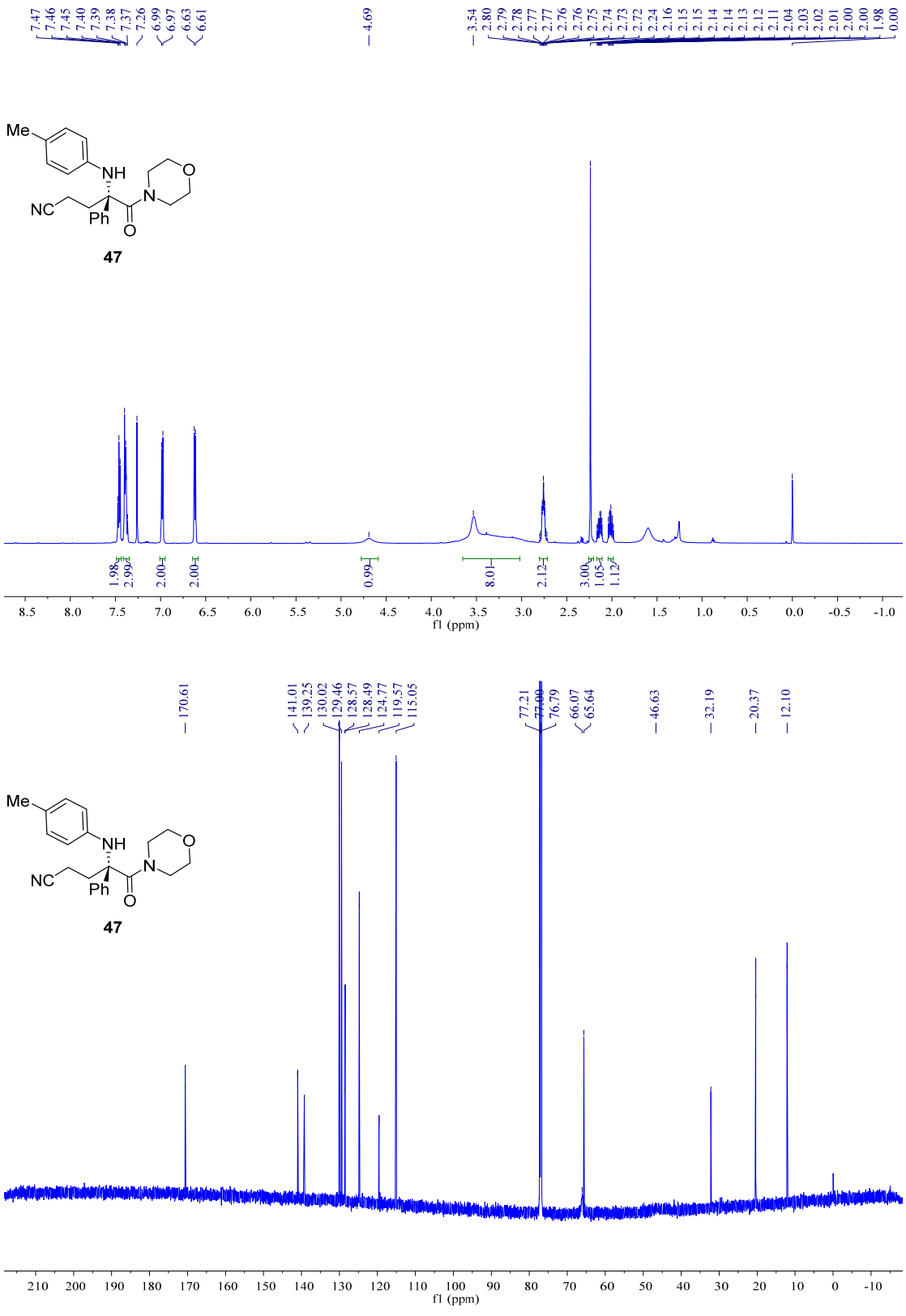
46

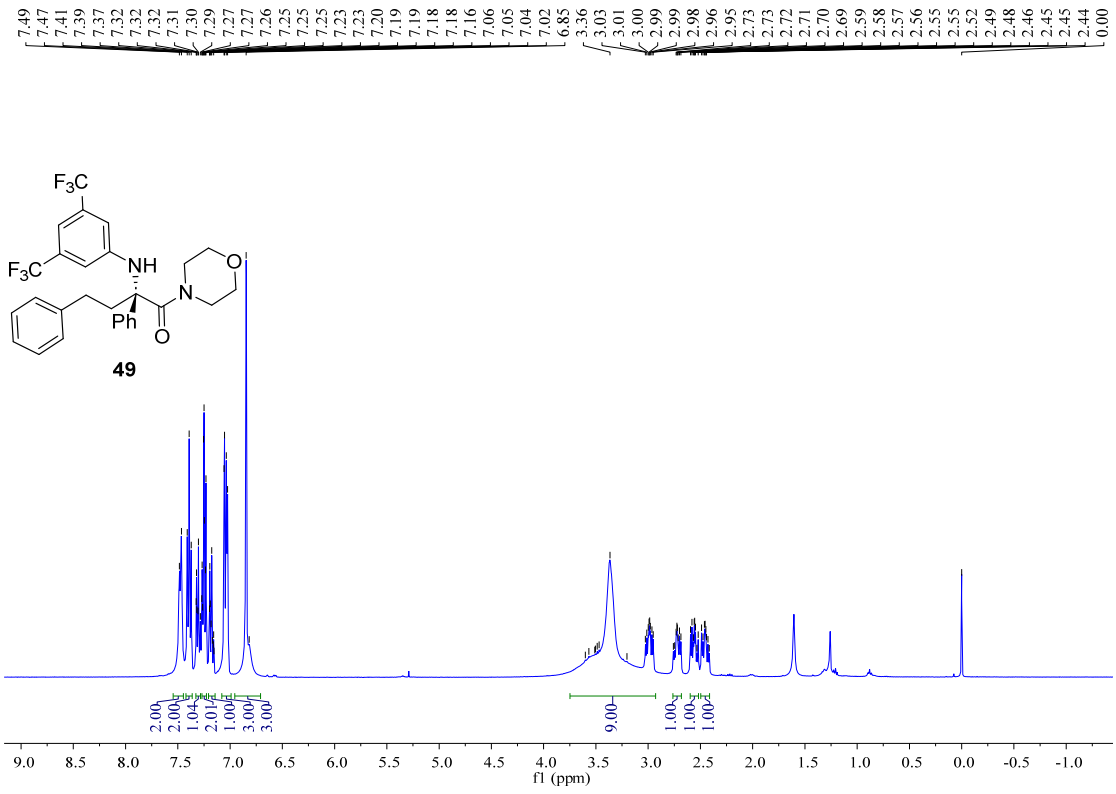

2.00
3.00
2.00
1.00
2.00
1.02
8.02
1.07
1.06
1.04
1.08
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

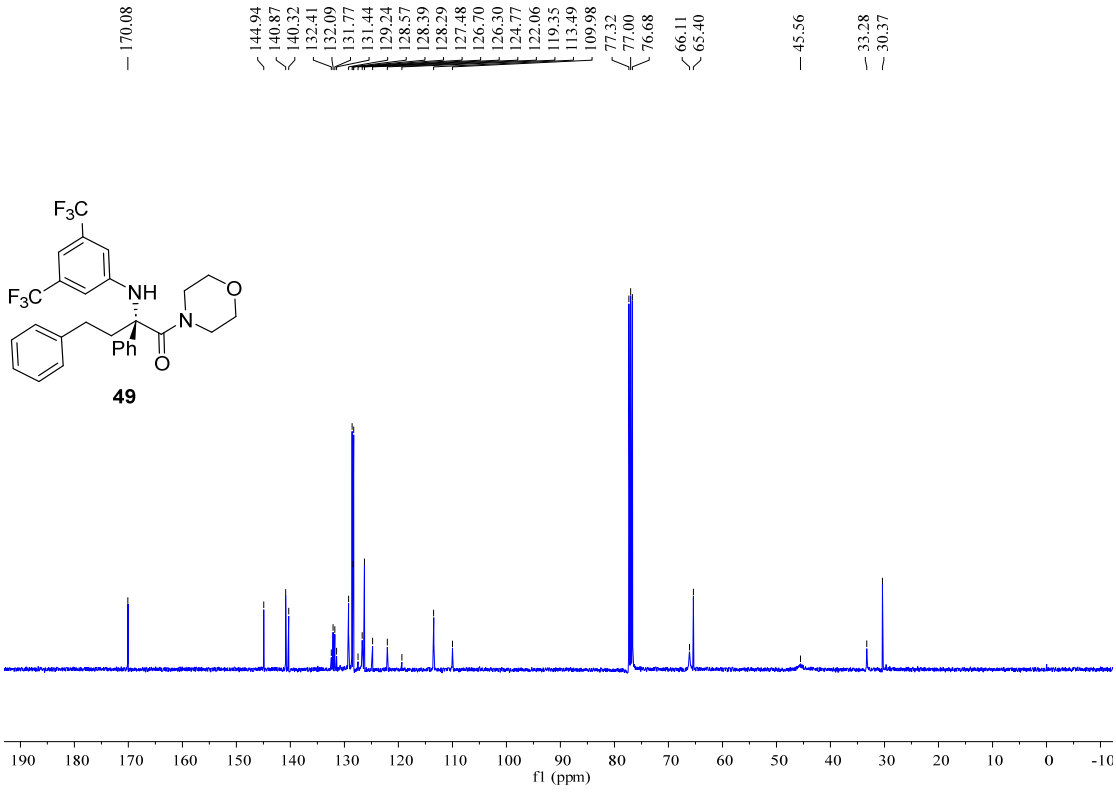

170.40
143.45
139.18
129.50
128.55
124.82
119.52
119.15
114.77
77.21
77.00
76.79
66.07
65.51
44.27
32.10
12.17
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

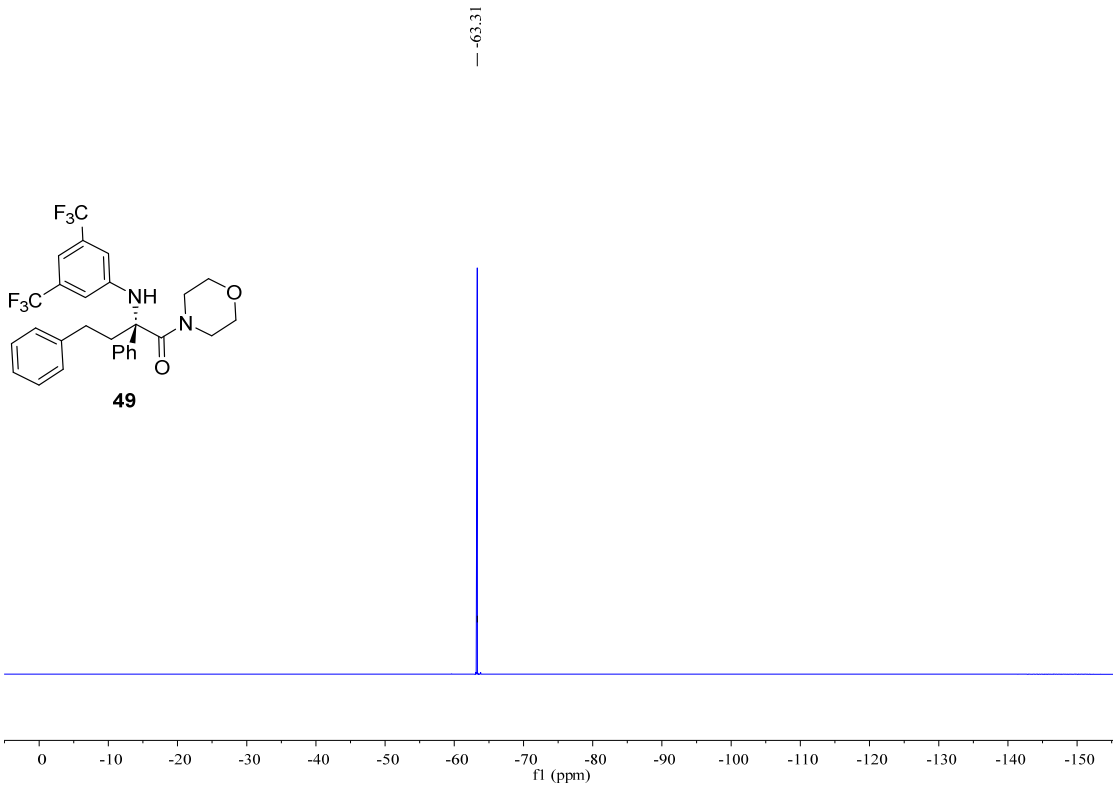

NH
O
N
NC
Ph
O

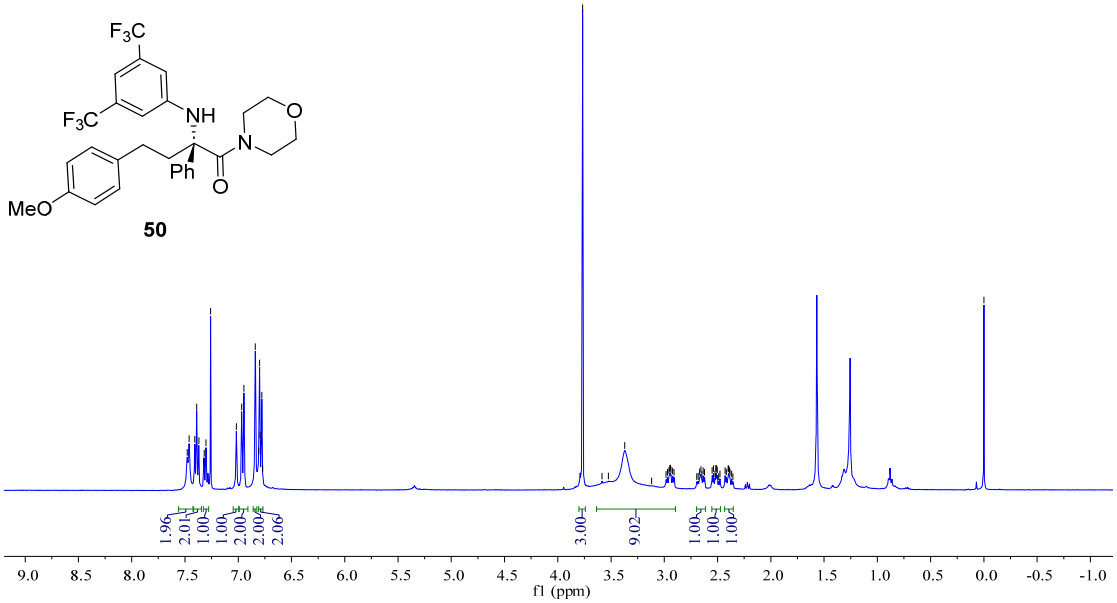
46

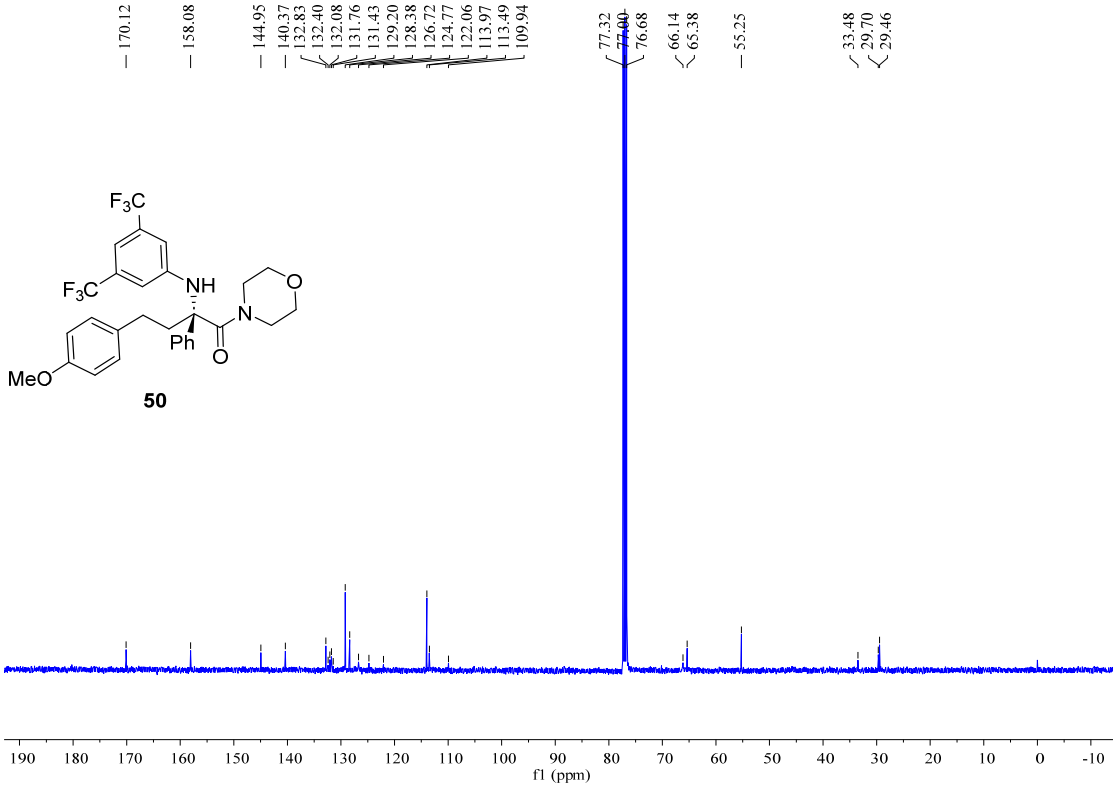

7.47
7.46
7.45
7.40
7.39
7.38
7.37
7.26
6.99
6.97
6.63
6.61
4.69
3.54
2.80
2.79
2.78
2.77
2.77
2.76
2.76
2.75
2.74
2.73
2.72
2.24
2.16
2.15
2.15
2.14
2.14
2.13
2.12
2.11
2.04
2.03
2.02
2.01
2.00
2.00
1.98
0.00
Me
NH
O
N
NC
Ph
O
47
1.98
2.99
2.00
2.00
0.99
8.01
2.12
3.00
1.05
1.12
f1 (ppm)
170.61
141.01
139.25
130.02
129.46
128.57
128.49
124.77
119.57
115.05
77.21
77.00
76.79
66.07
65.64
46.63
32.19
20.37
12.10
Me
NH
O
N
NC
Ph
O
47
f1 (ppm)

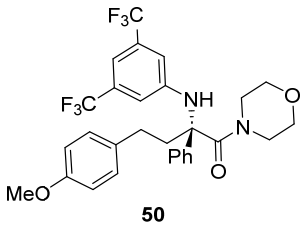

7.49 7.47 7.41 7.39 7.37 7.32 7.32 7.32 7.31 7.30 7.29 7.27 7.27 7.26 7.25 7.25 7.25 7.23 7.23 7.20 7.19 7.18 7.18 7.16 7.06 7.05 7.04 7.02 6.85 3.36 3.03 3.01 3.00 2.99 2.99 2.98 2.96 2.95 2.73 2.73 2.72 2.71 2.70 2.69 2.59 2.58 2.57 2.56 2.55 2.55 2.52 2.49 2.48 2.46 2.45 2.45 2.44 0.00
F3C
F3C
NH
O
N
Ph
O
49
2.00 2.00 1.04 2.01 1.00 3.00 3.00 9.00 1.00 1.00 1.00
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)


170.08
144.94 140.87 140.32 132.41 132.09 131.77 131.44 129.24 128.57 128.39 128.29 127.48 126.70 126.30 124.77 122.06 119.35 113.49 109.98 77.32 77.00 76.68 66.11 65.40 45.56 33.28 30.37
F3C
F3C
NH
O
N
Ph
O
49
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.31
F3C
F3C
NH
O
N
Ph
O
49
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

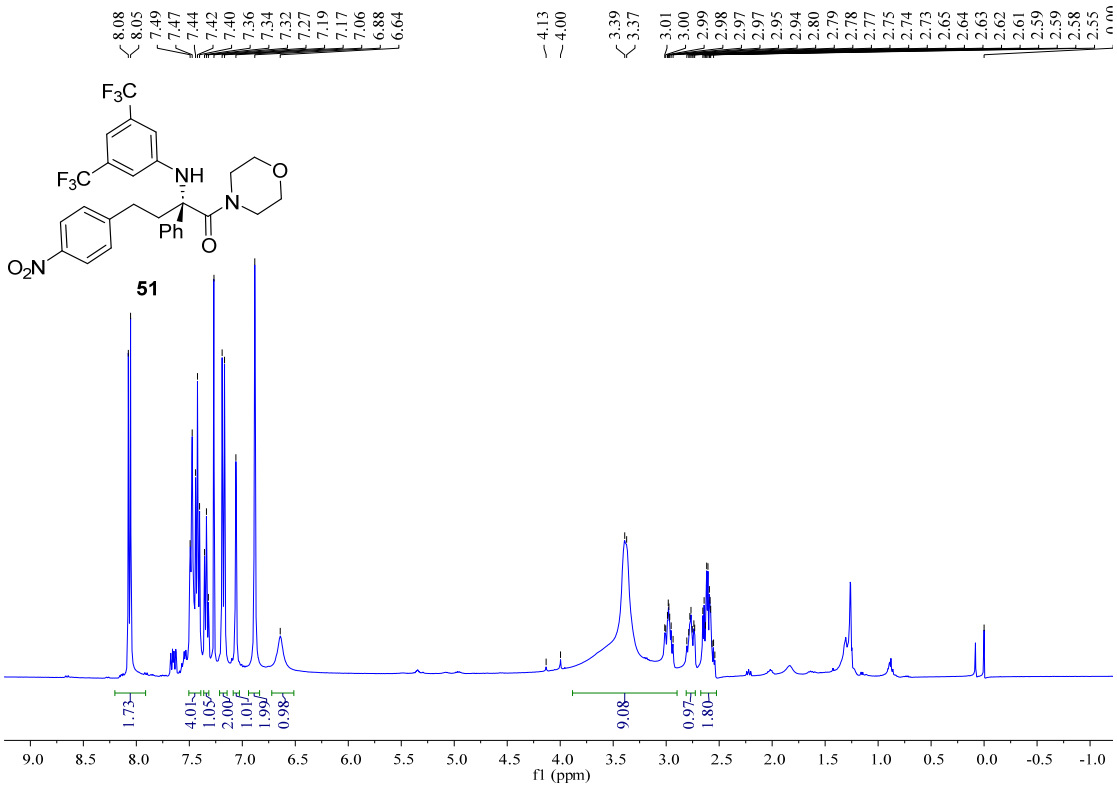

F3C
F3C
NH
O
N
Ph
O
MeO
50
1.96
2.01
1.00
1.00
2.00
2.00
2.06
3.00
9.02
1.00
1.00
1.00
f1 (ppm)

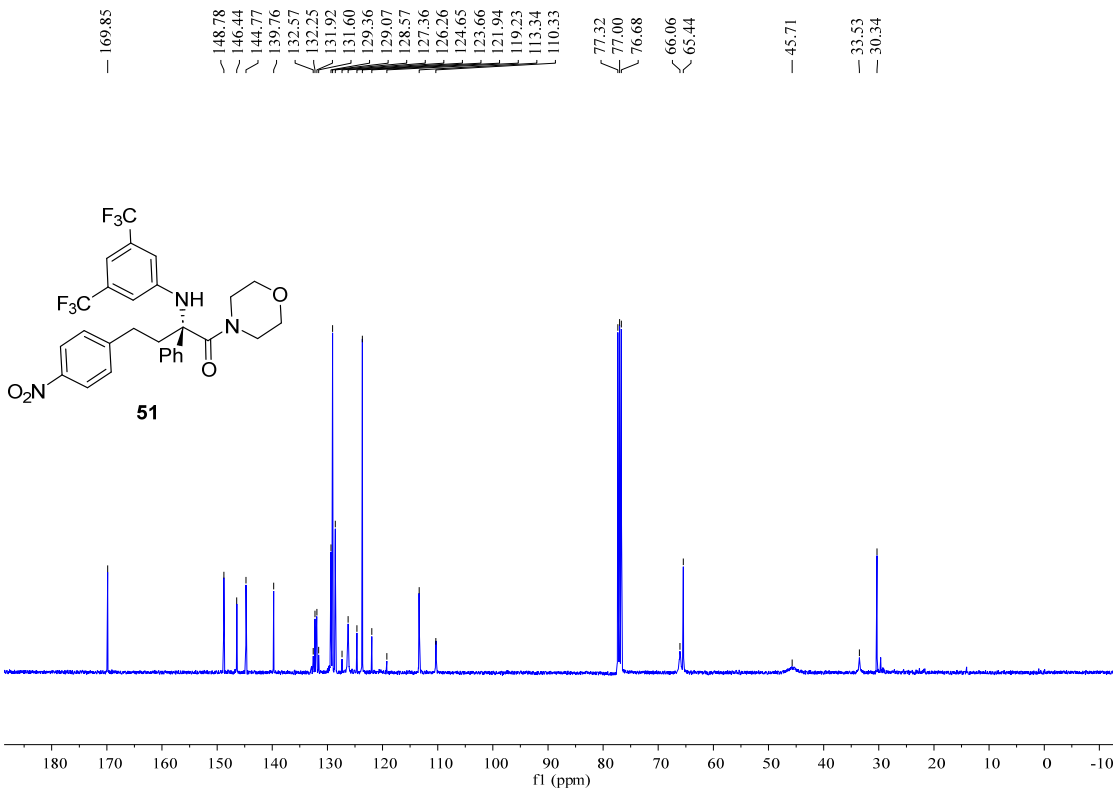

F3C
F3C
NH
O
N
Ph
O
MeO
50
f1 (ppm)

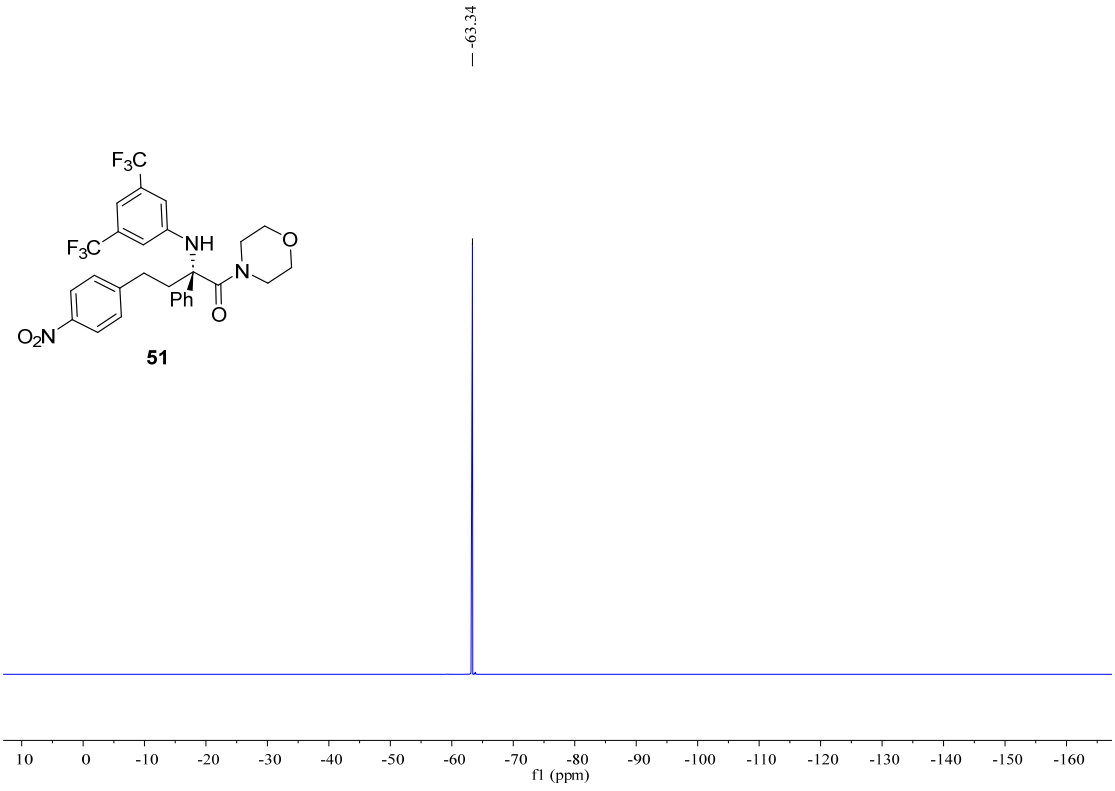

F3C
F3C
NH
O
N
Ph
O
MeO

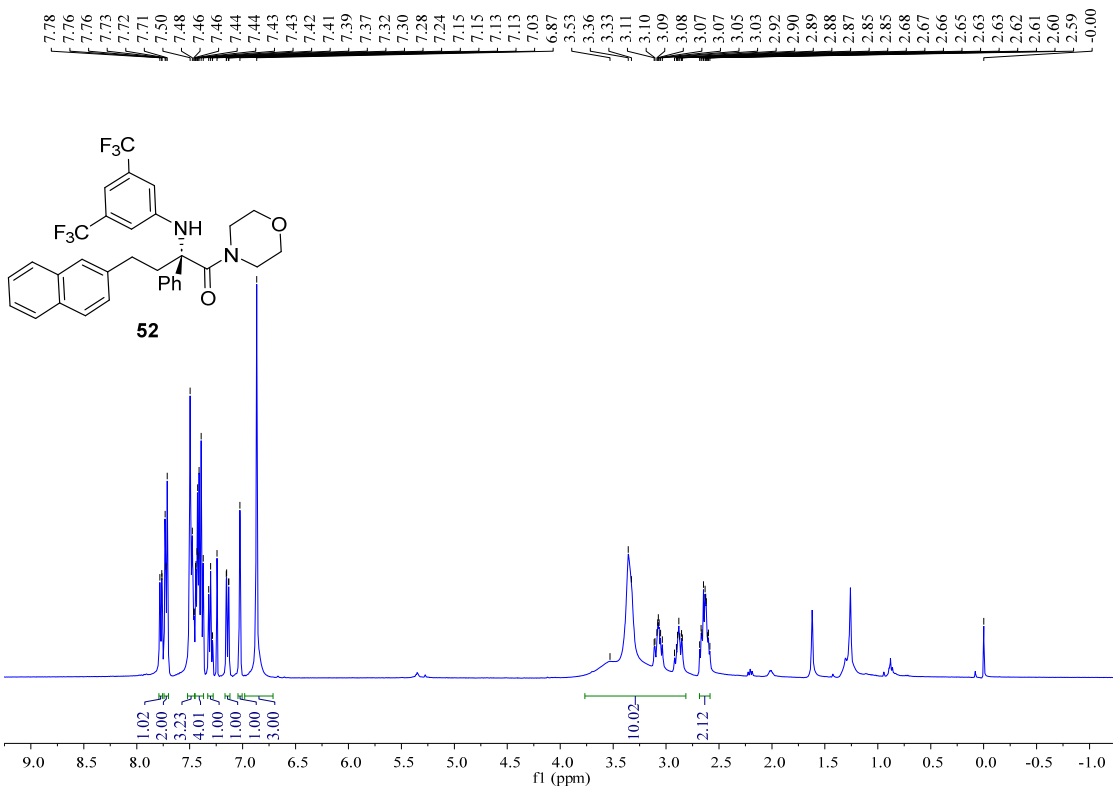
50

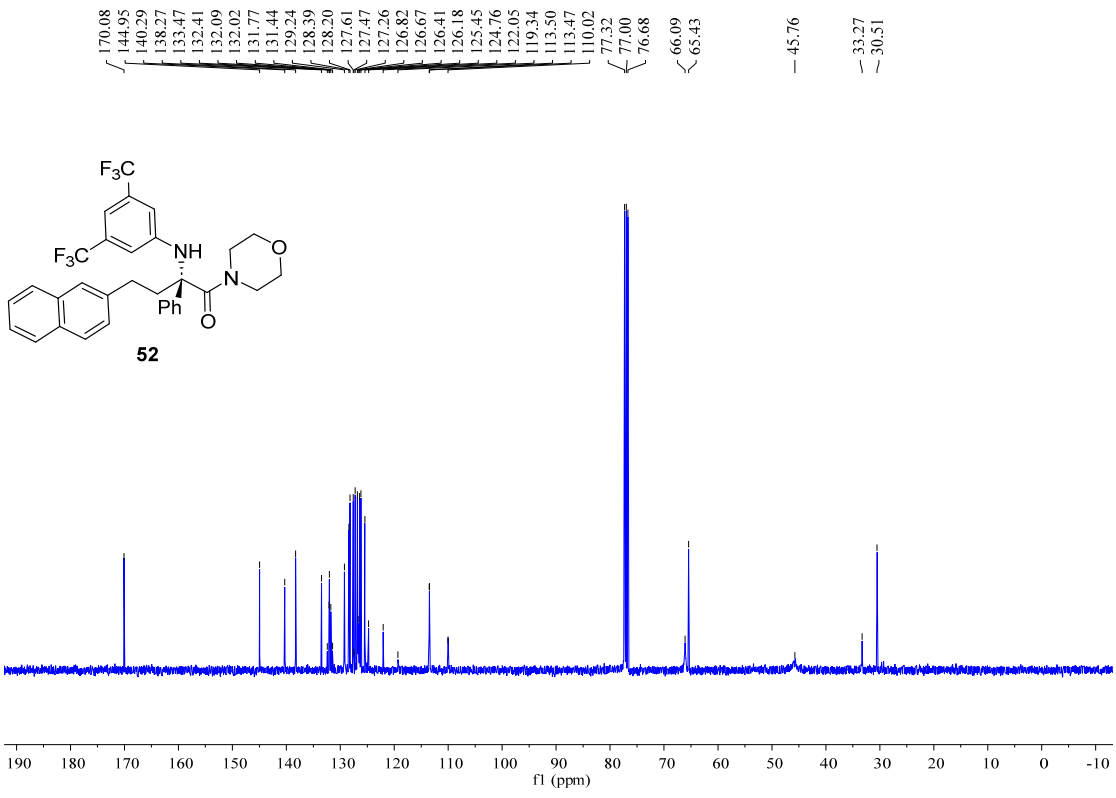
-63.33
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

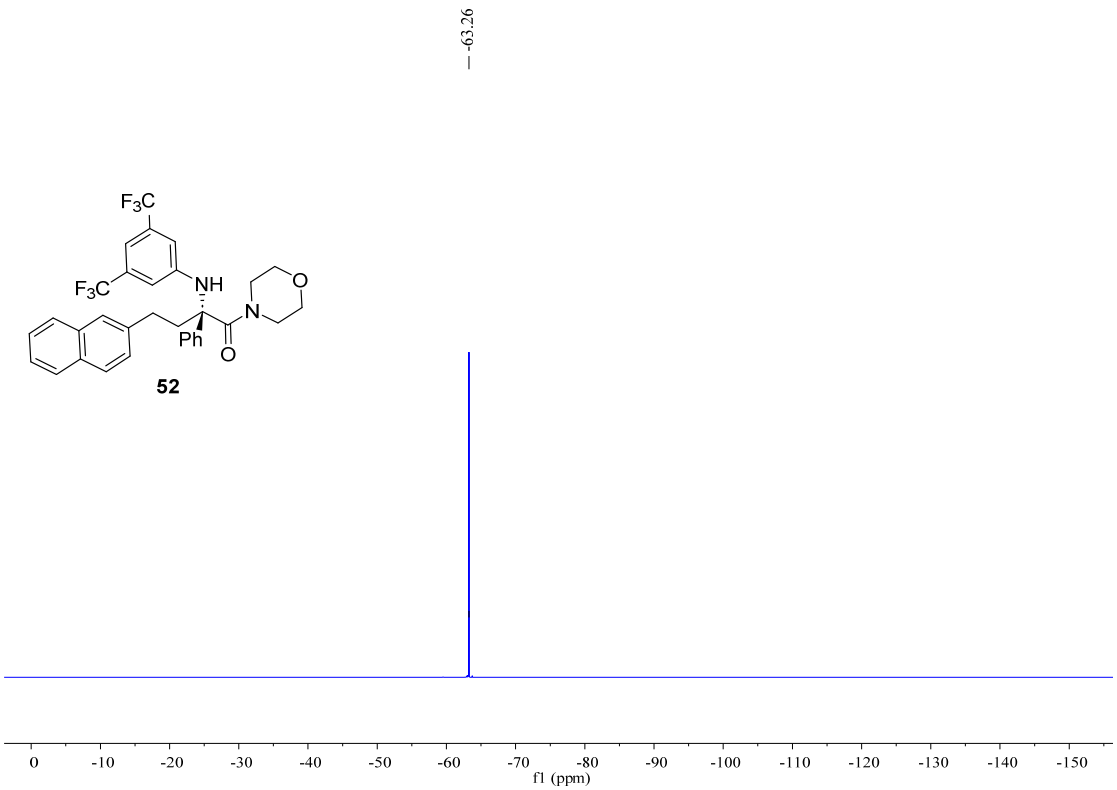

8.08
8.05
7.49
7.47
7.44
7.42
7.40
7.36
7.34
7.32
7.27
7.19
7.17
7.06
6.88
6.64
4.13
4.00
3.39
3.37
3.01
3.00
2.99
2.98
2.97
2.97
2.95
2.94
2.80
2.79
2.78
2.77
2.75
2.74
2.73
2.65
2.64
2.63
2.62
2.61
2.59
2.59
2.58
2.55
F3C
F3C
NH
O
N
Ph
O
O2N
51
1.73
4.01
1.05
2.00
1.01
1.99
0.98
9.08
0.97
1.80
f1 (ppm)

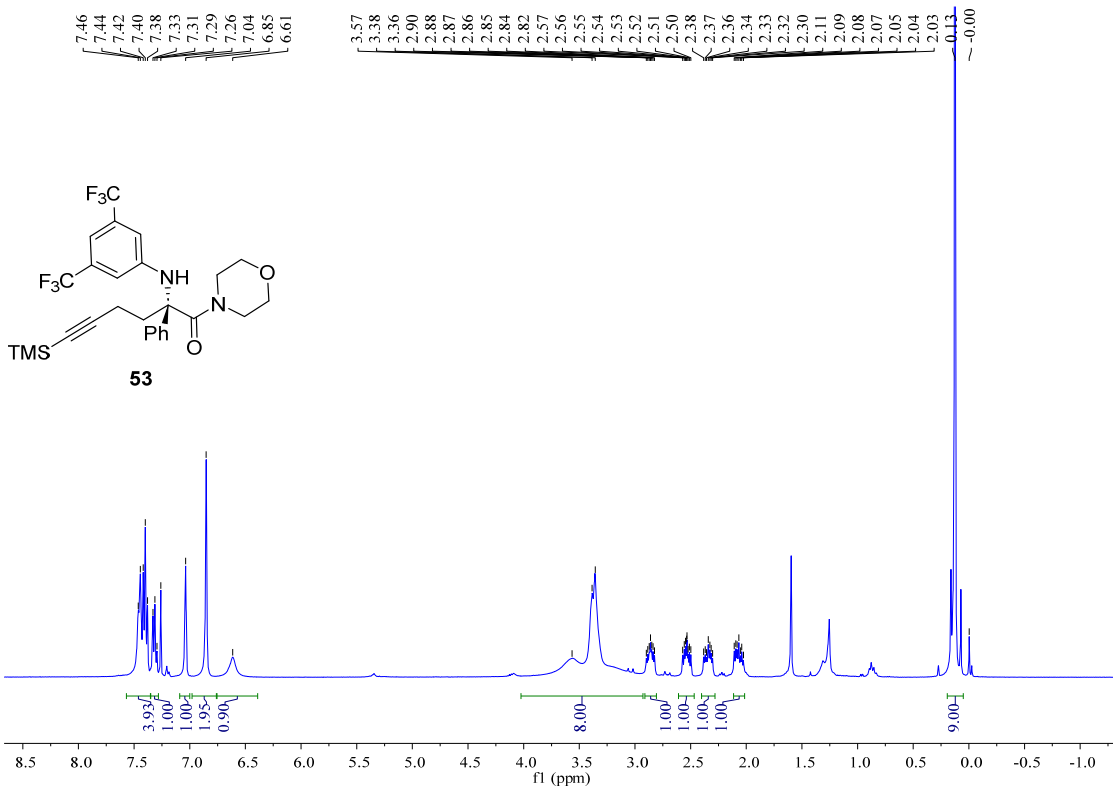

169.85
148.78
146.44
144.77
139.76
132.57
132.25
131.92
131.60
129.36
129.07
128.57
127.36
126.26
124.65
123.66
121.94
119.23
113.34
110.33
77.32
77.00
76.68
66.06
65.44
45.71
33.53
30.34
F3C
F3C
NH
O
N
Ph
O
O2N
51
f1 (ppm)

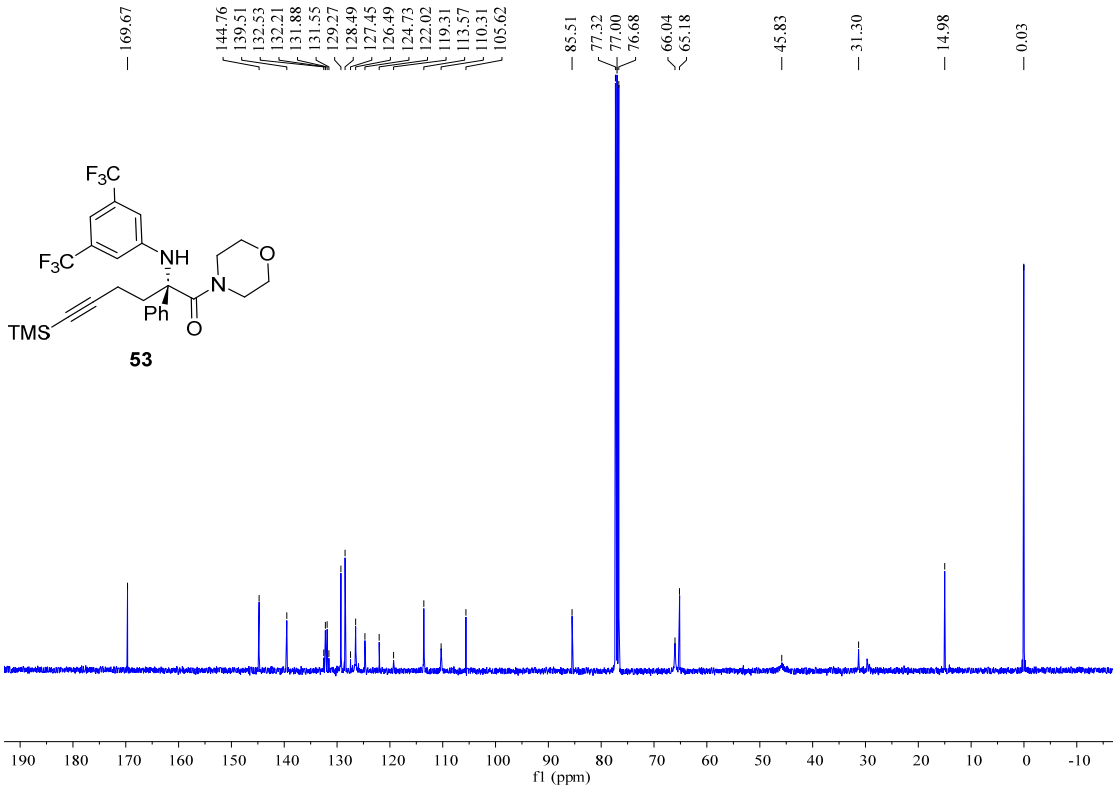

-63.34
F3C
F3C
NH
O
N
Ph
O
O2N
51
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

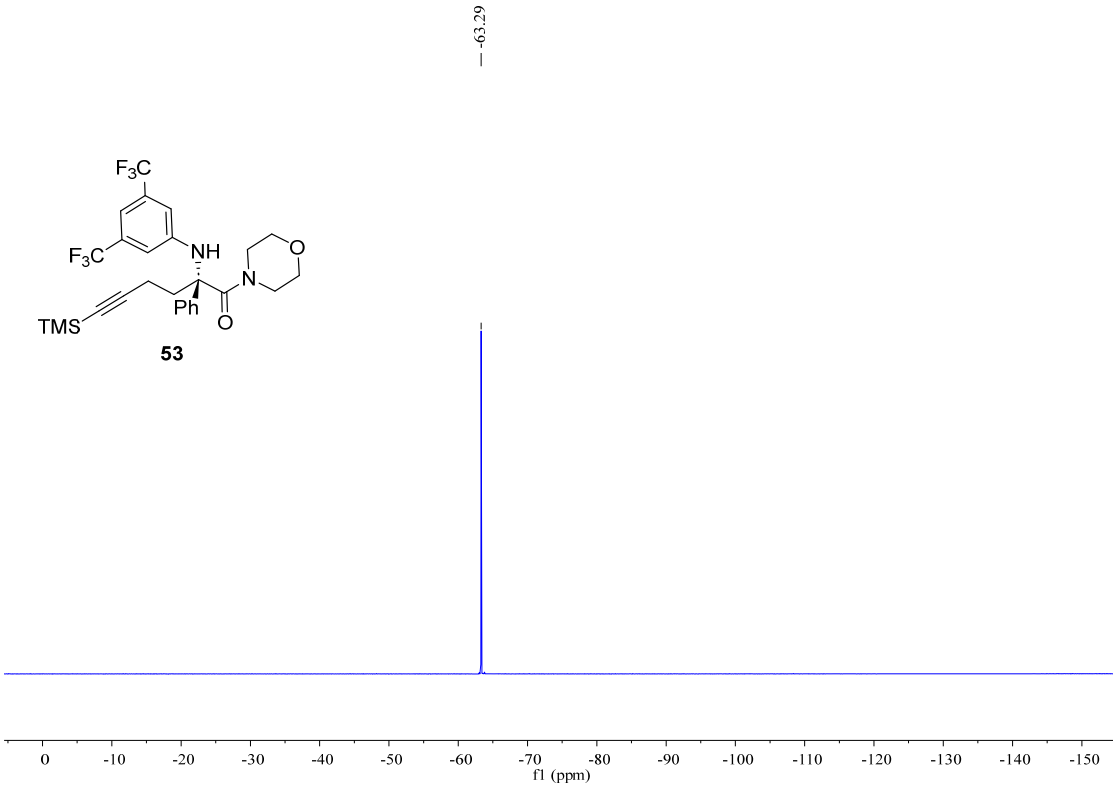

7.78 7.76 7.76 7.73 7.72 7.71 7.50 7.48 7.46 7.46 7.44 7.44 7.43 7.43 7.42 7.41 7.39 7.37 7.32 7.30 7.28 7.24 7.15 7.15 7.13 7.13 7.03 6.87
3.53 3.36 3.33 3.11 3.10 3.09 3.08 3.07 3.07 3.05 3.03 2.92 2.90 2.89 2.88 2.87 2.85 2.85 2.68 2.67 2.66 2.65 2.63 2.63 2.62 2.61 2.60 2.59 -0.00
F3C
F3C
NH
O
N
Ph
O
52
1.02 2.00 3.23 4.01 1.00 1.00 1.00 3.00
10.02
2.12
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 -0.5 -1.0
f1 (ppm)

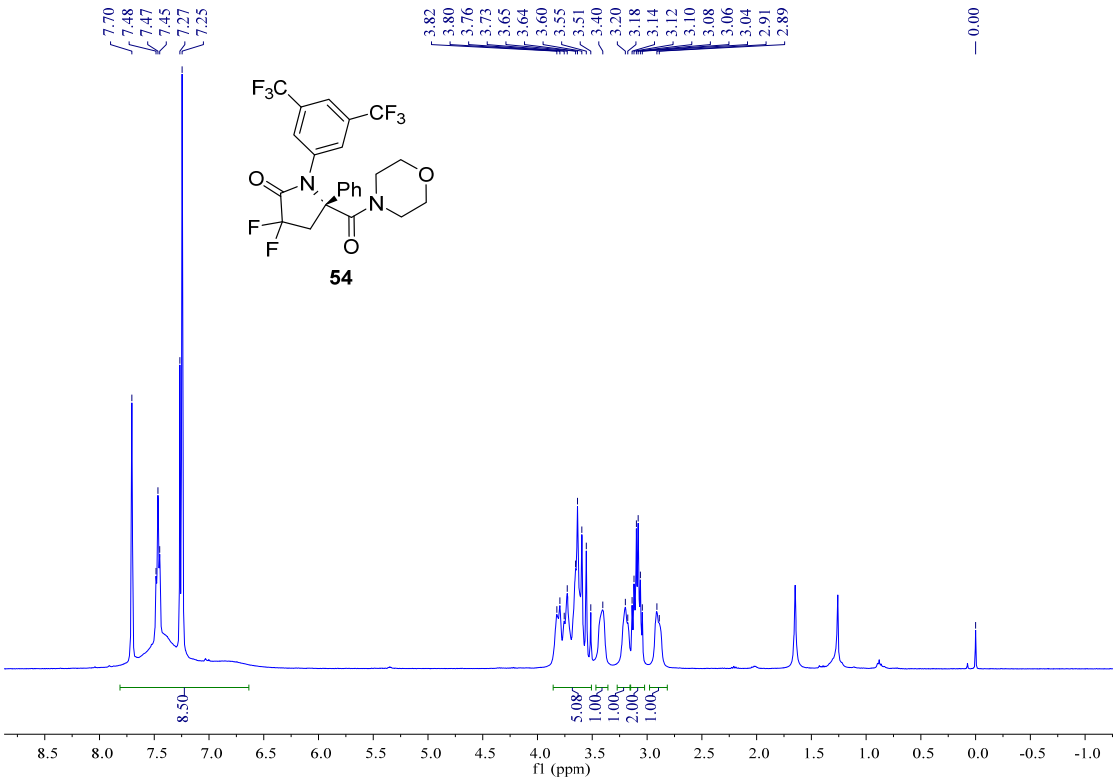

170.08 144.95 140.29 138.27 133.47 132.41 132.09 132.02 131.77 131.44 129.24 128.39 128.20 127.61 127.47 127.26 126.82 126.67 126.41 126.18 125.45 124.76 122.05 119.34 113.50 113.47 110.02
77.32 77.00 76.68
66.09 65.43
45.76
33.27 30.51
F3C
F3C
NH
O
N
Ph
O
52
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

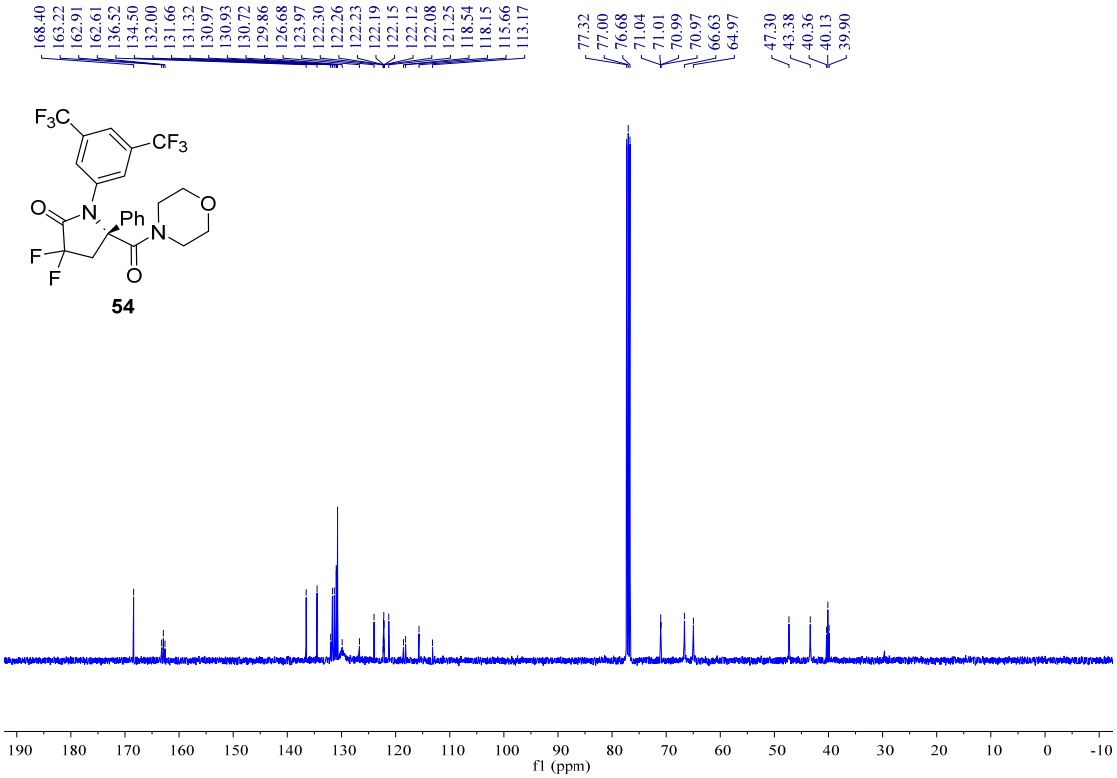

-63.26
F3C
F3C
NH
O
N
Ph
O
52
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

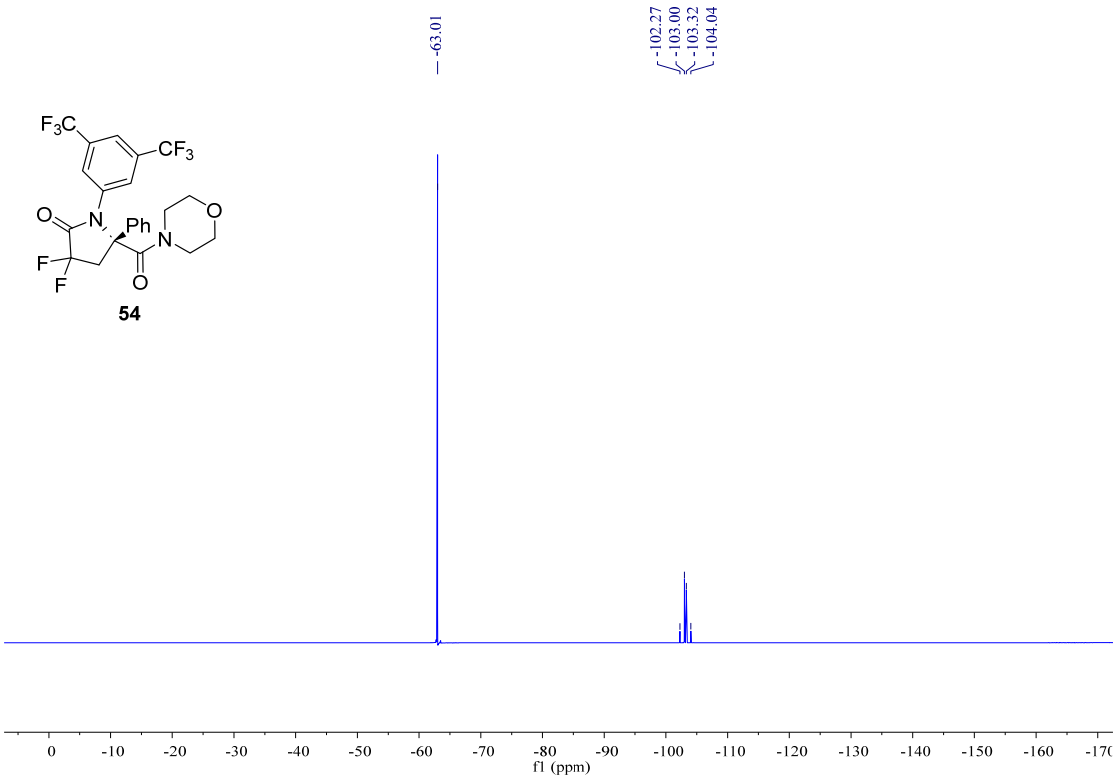

7.46
7.44
7.42
7.40
7.38
7.33
7.31
7.29
7.26
7.04
6.85
6.61
3.57
3.38
3.36
2.90
2.88
2.87
2.86
2.85
2.84
2.82
2.57
2.56
2.55
2.54
2.53
2.52
2.51
2.50
2.38
2.37
2.36
2.34
2.33
2.32
2.30
2.11
2.09
2.08
2.07
2.05
2.04
2.03
0.13
-0.00
F3C
F3C
NH
O
N
Ph
O
TMS
53
3.93
1.00
1.00
1.95
0.90
8.00
1.00
1.00
1.00
1.00
9.00
f1 (ppm)

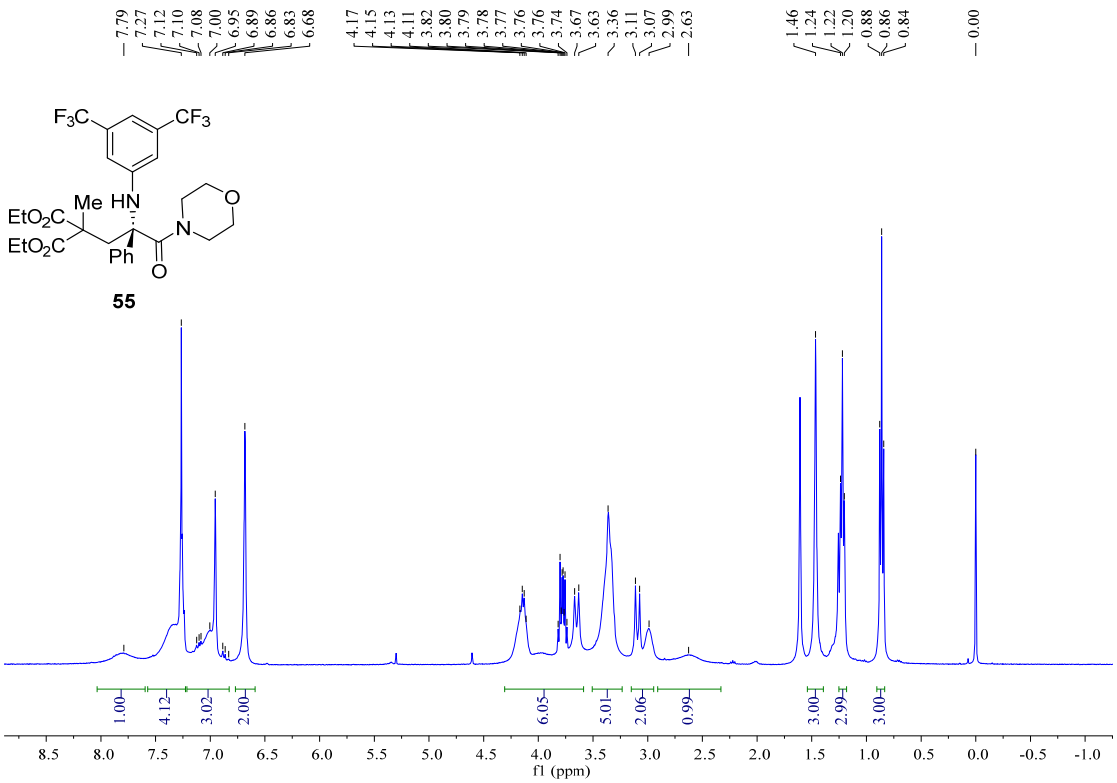

169.67
144.76
139.51
132.53
132.21
131.88
131.55
129.27
128.49
127.45
126.49
124.73
122.02
119.31
113.57
110.31
105.62
85.51
77.32
77.00
76.68
66.04
65.18
45.83
31.30
14.98
0.03
F3C
F3C
NH
O
N
Ph
O
TMS
53
f1 (ppm)

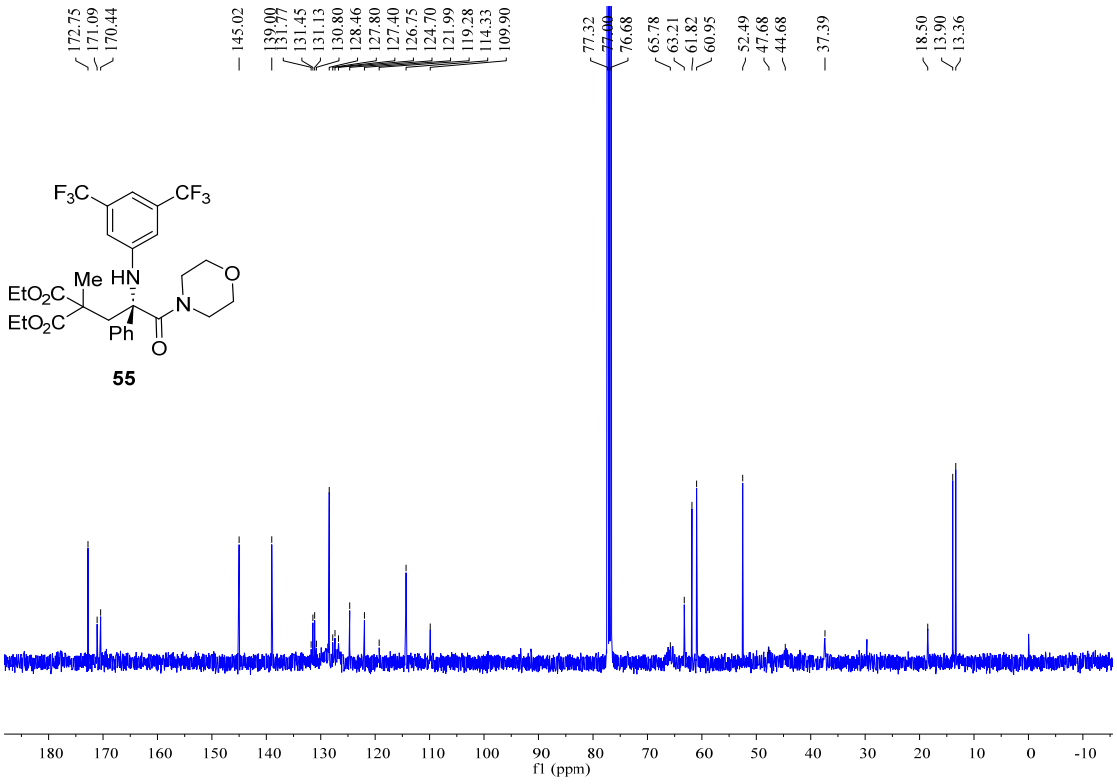

-63.29
F3C
F3C
NH
O
N
Ph
O
TMS
53
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

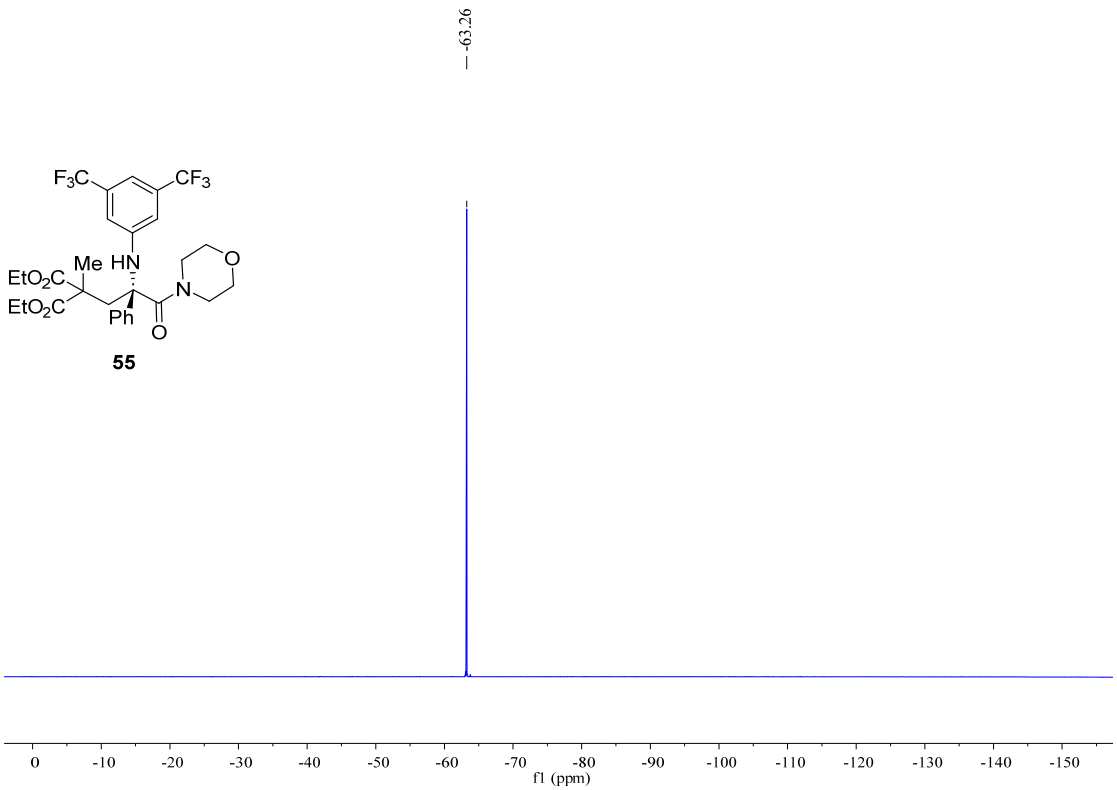

7.70
7.48
7.47
7.45
7.27
7.25
3.82
3.80
3.76
3.73
3.65
3.64
3.60
3.55
3.51
3.40
3.20
3.18
3.14
3.12
3.10
3.08
3.06
3.04
2.91
2.89
0.00
F3C
CF3
O
N
Ph
O
N
F
F
O
54
8.50
5.08
1.00
1.00
2.00
1.00
f1 (ppm)

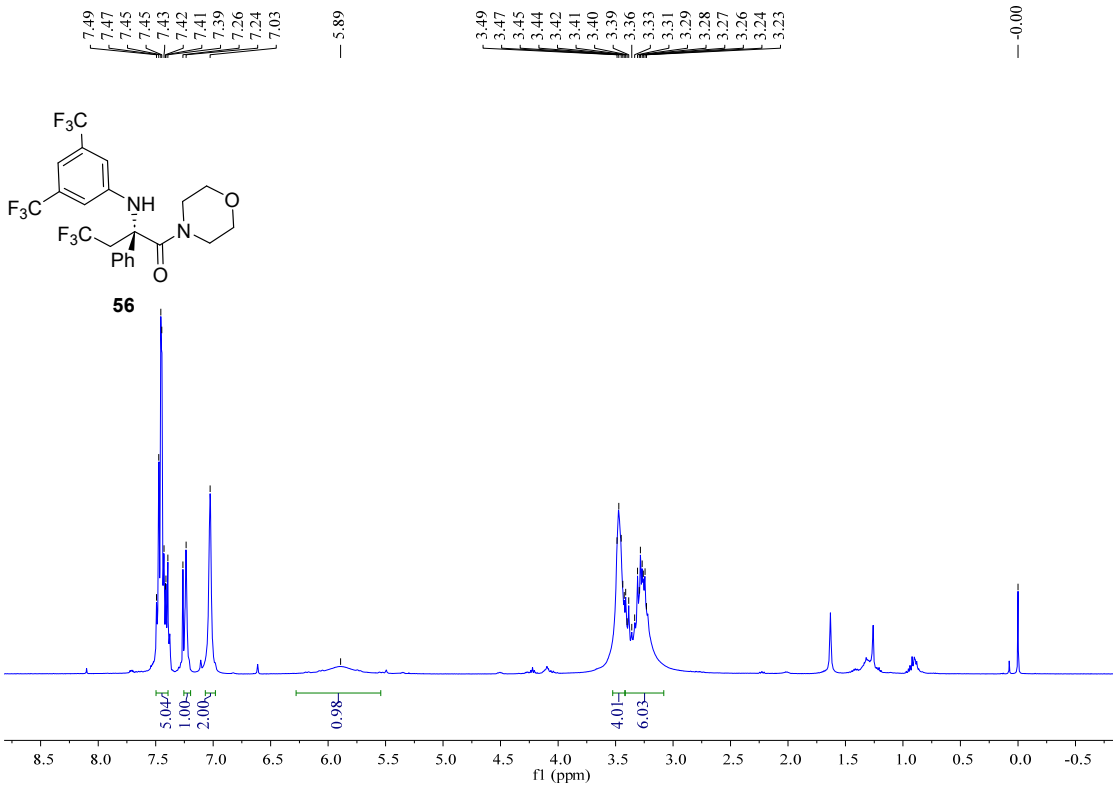

168.40
163.22
162.91
162.61
136.52
134.50
132.00
131.66
131.32
130.97
130.93
130.72
129.86
126.68
123.97
122.30
122.26
122.23
122.19
122.15
122.12
122.08
121.25
118.54
118.15
115.66
113.17
77.32
77.00
76.68
71.04
71.01
70.99
70.97
66.63
64.97
47.30
43.38
40.36
40.13
39.90
F3C
CF3
O
N
Ph
O
N
F
F
O
54
f1 (ppm)

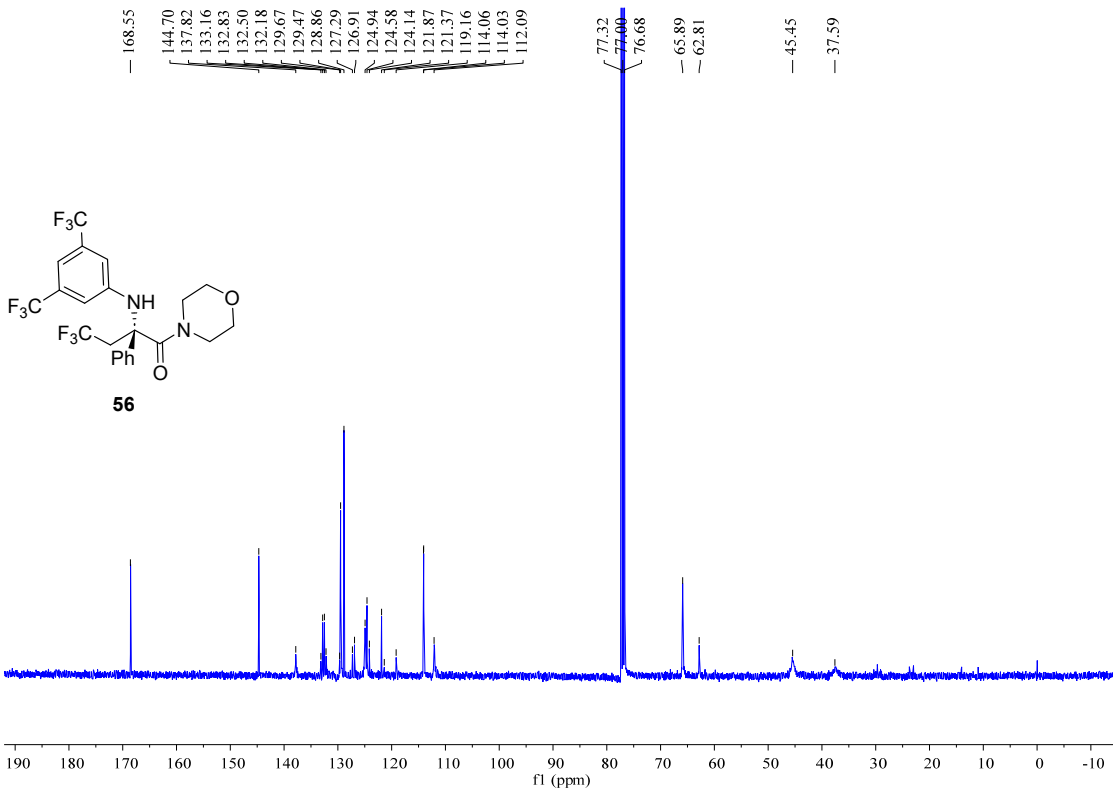

-63.01
-102.27
-103.00
-103.32
-104.04
F3C
CF3
O
N
Ph
N
O
F
F
O
54
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)

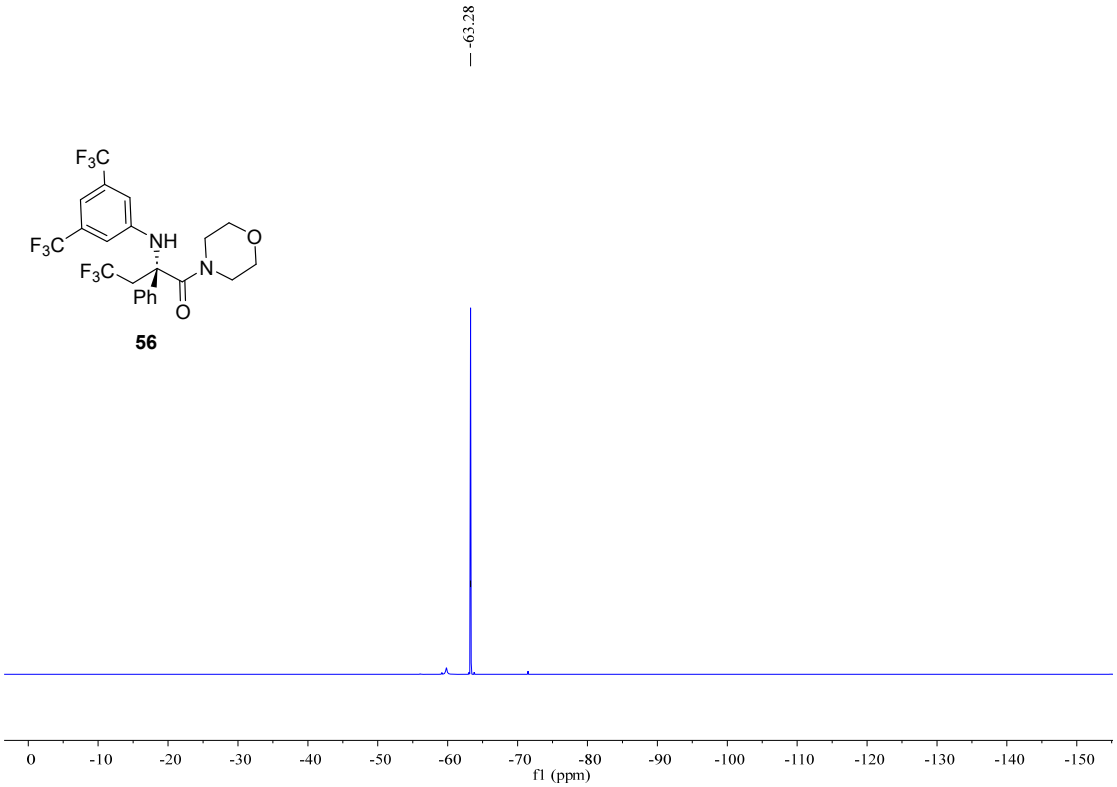

7.79
7.27
7.12
7.10
7.08
7.00
6.95
6.89
6.86
6.83
6.68
4.17
4.15
4.13
4.11
3.82
3.80
3.79
3.78
3.77
3.76
3.76
3.74
3.67
3.63
3.36
3.11
3.07
2.99
2.63
1.46
1.24
1.22
1.20
0.88
0.86
0.84
0.00
F3C
CF3
Me
HN
EtO2C
EtO2C
Ph
N
O
O
55
1.00
4.12
3.02
2.00
6.05
5.01
2.06
0.99
3.00
2.99
3.00
f1 (ppm)

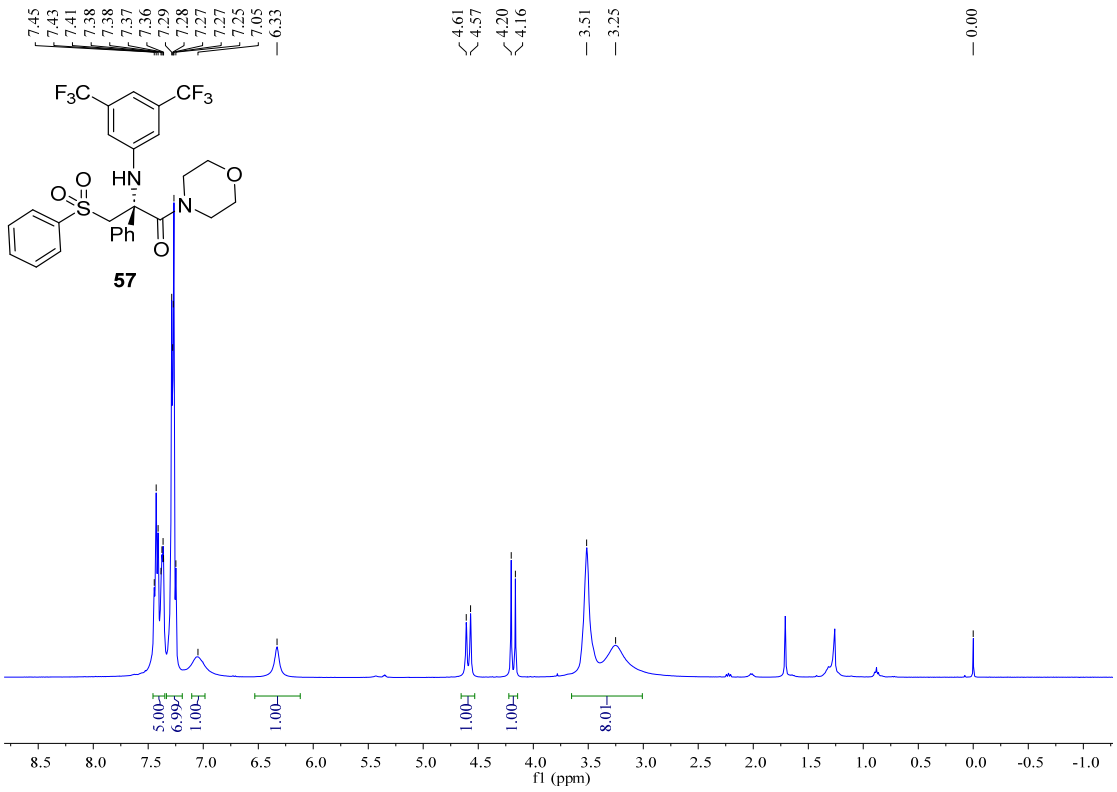

172.75
171.09
170.44
145.02
139.00
131.77
131.45
131.13
130.80
128.46
127.80
127.40
126.75
124.70
121.99
119.28
114.33
109.90
77.32
77.00
76.68
65.78
63.21
61.82
60.95
52.49
47.68
44.68
37.39
18.50
13.90
13.36
F3C
CF3
Me
HN
EtO2C
EtO2C
Ph
N
O
O
55
f1 (ppm)

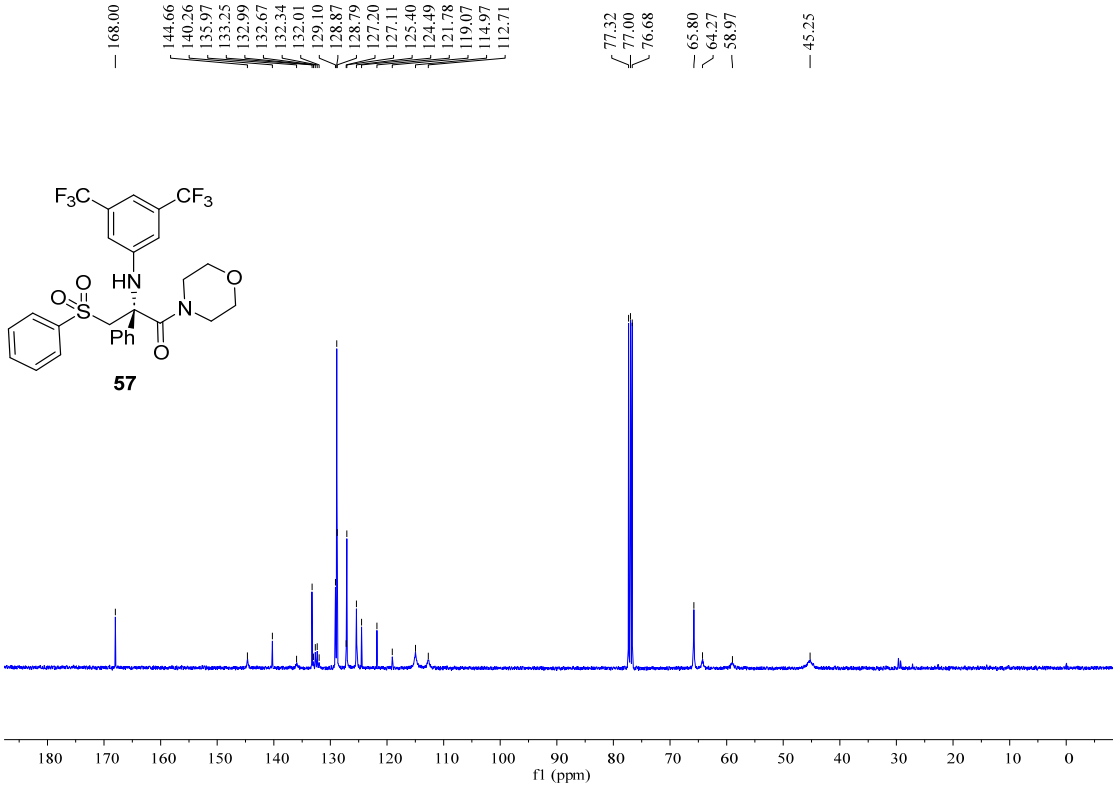

-63.26
F3C
CF3
Me HN
EtO2C
EtO2C
Ph
O
N
O
55
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

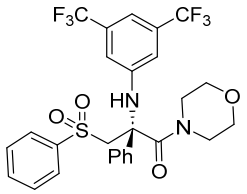

7.49
7.47
7.45
7.45
7.43
7.42
7.41
7.39
7.26
7.24
7.03
5.89
3.49
3.47
3.45
3.44
3.42
3.41
3.40
3.39
3.36
3.33
3.31
3.29
3.28
3.27
3.26
3.24
3.23
-0.00
F3C
F3C
NH
O
F3C
N
Ph
O
56
5.04
1.00
2.00
0.98
4.01
6.03
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


168.55
144.70
137.82
133.16
132.83
132.50
132.18
129.67
129.47
128.86
127.29
126.91
124.94
124.58
124.14
121.87
121.37
119.16
114.06
114.03
112.09
77.32
77.00
76.68
65.89
62.81
45.45
37.59
F3C
F3C
NH
O
F3C
N
Ph
O
56
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


-63.28
F3C
F3C
NH
F3C
Ph
O
N
O
56
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

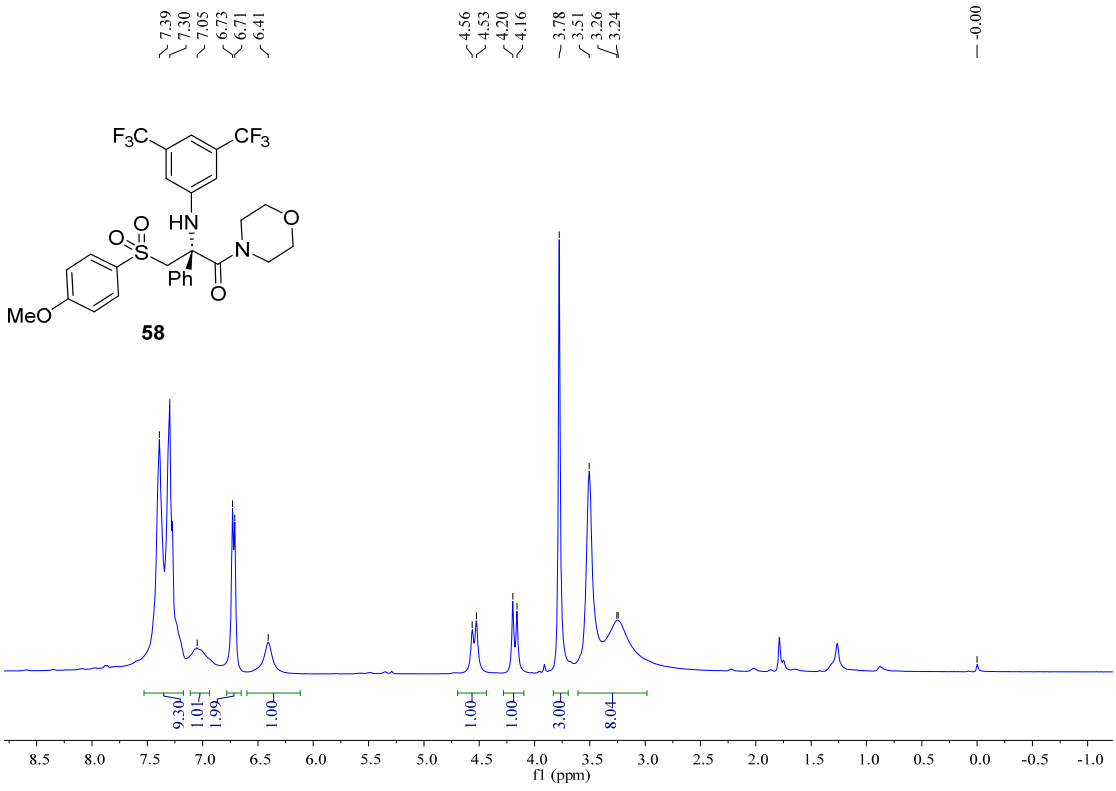

7.45
7.43
7.41
7.38
7.38
7.37
7.36
7.29
7.28
7.27
7.27
7.25
7.05
6.33
4.61
4.57
4.20
4.16
3.51
3.25
0.00
F3C
CF3
HN
O
O
S
N
O
Ph
O
57
5.00
6.99
1.00
1.00
1.00
1.00
8.01
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
f1 (ppm)


168.00
144.66
140.26
135.97
133.25
132.99
132.67
132.34
132.01
129.10
128.87
128.79
127.20
127.11
125.40
124.49
121.78
119.07
114.97
112.71
77.32
77.00
76.68
65.80
64.27
58.97
45.25
F3C
CF3
HN
O
O
S
N
O
Ph
O
57
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



57

-63.24
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 -145 -15
f1 (ppm)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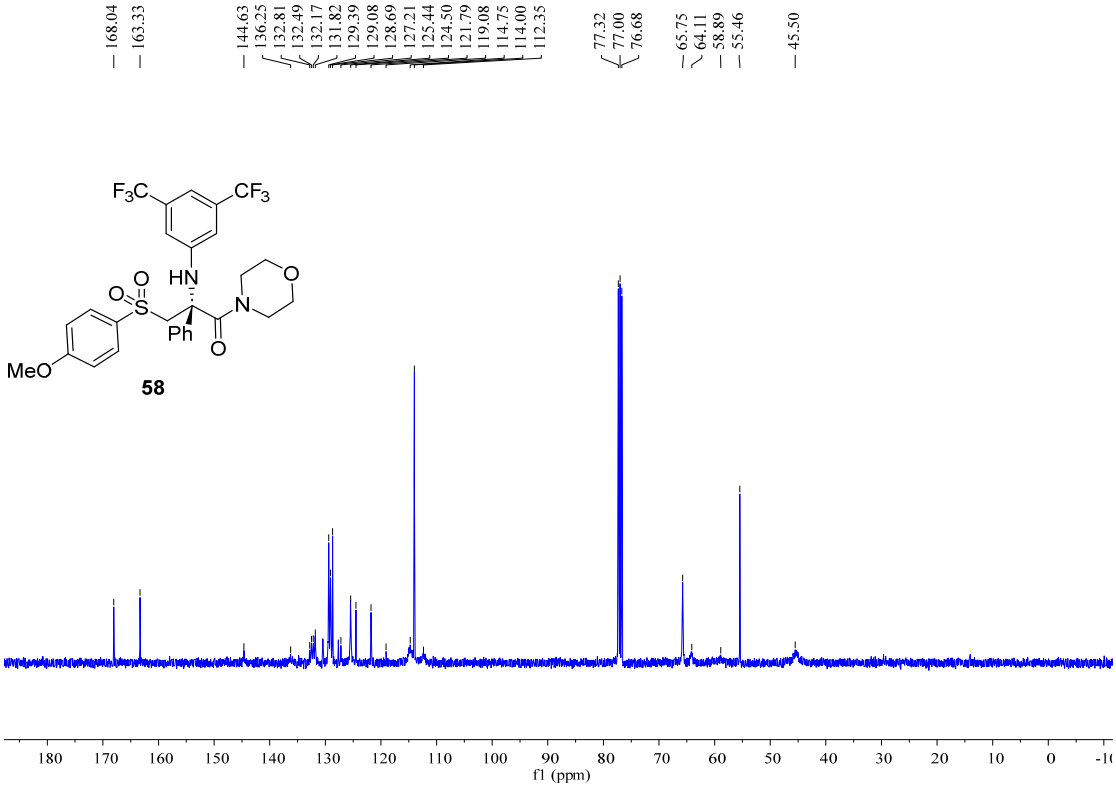
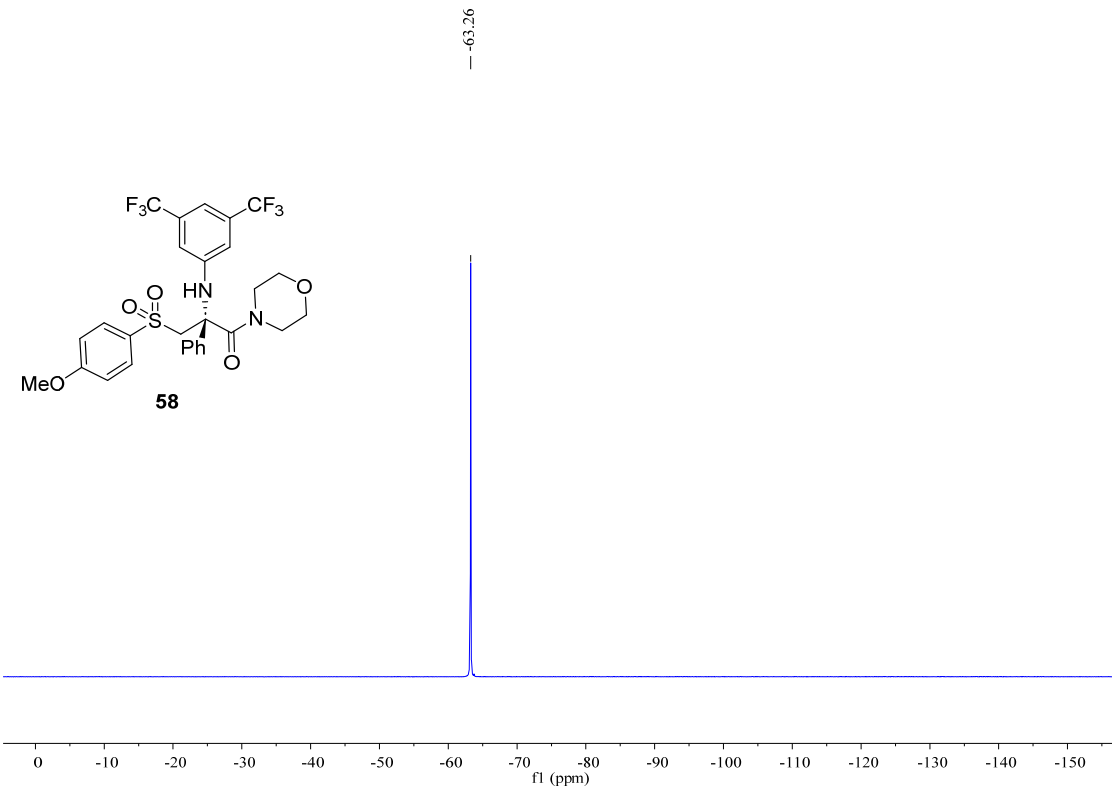
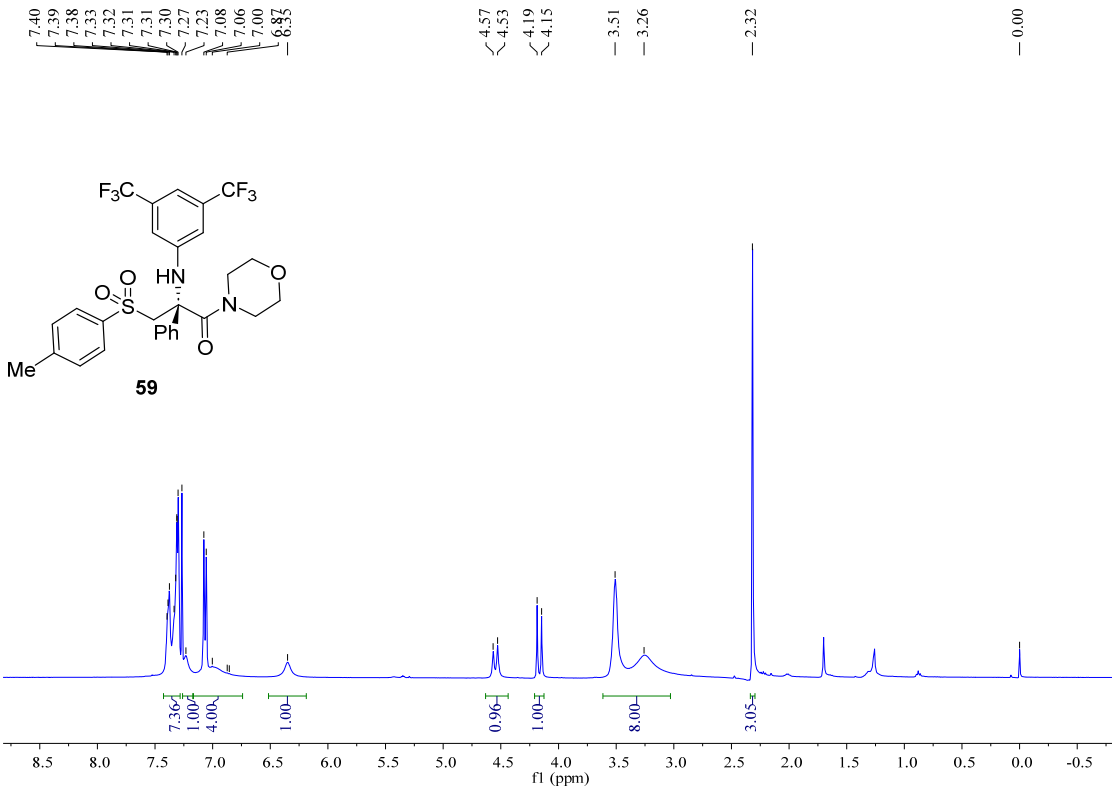
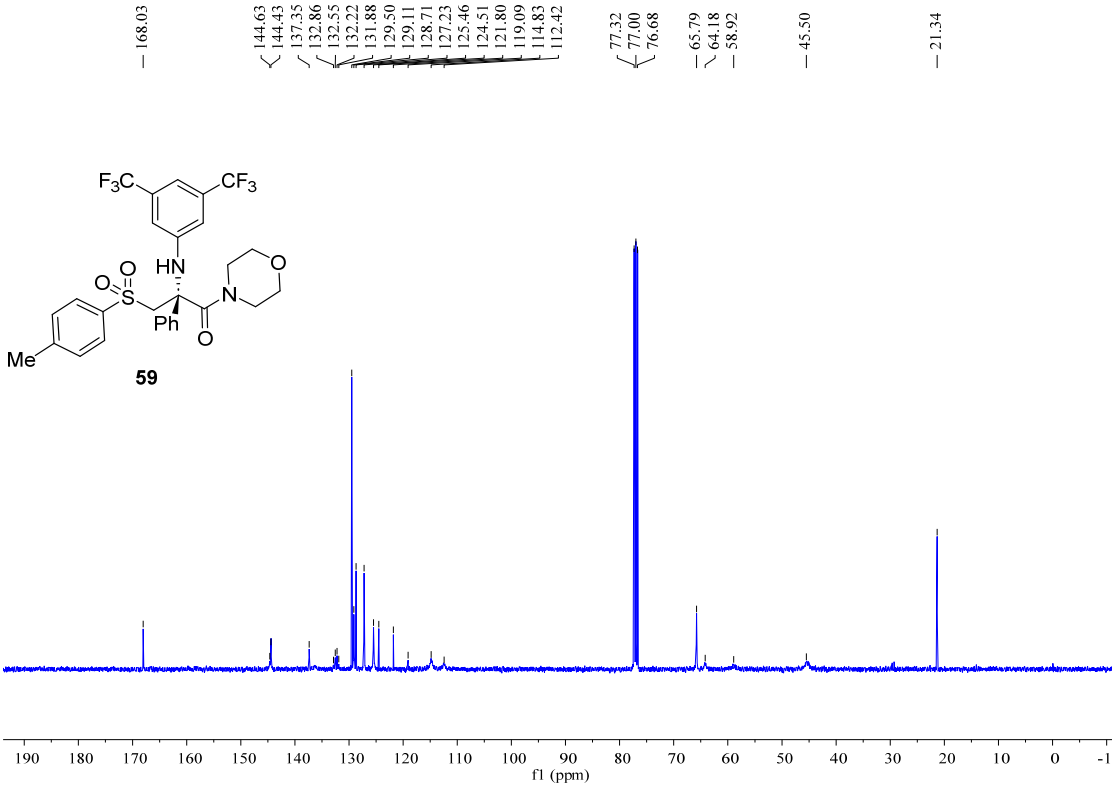
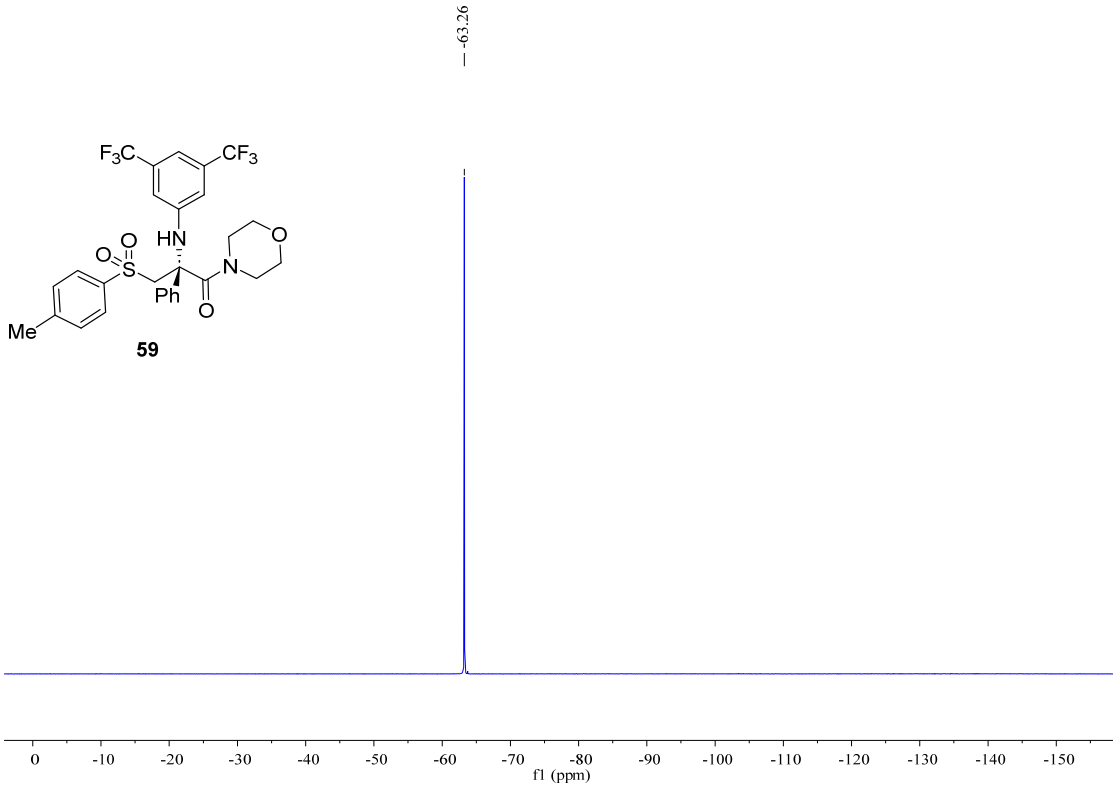
7.30
7.05
6.73
6.71
6.41
4.56
4.53
4.20
4.16
3.78
3.51
3.26
3.24
-0.00
F3C
CF3
HN
O
S
N
Ph
MeO
58
9.30
1.01
1.99
1.00
1.00
1.00
3.00
8.04
f1 (ppm)

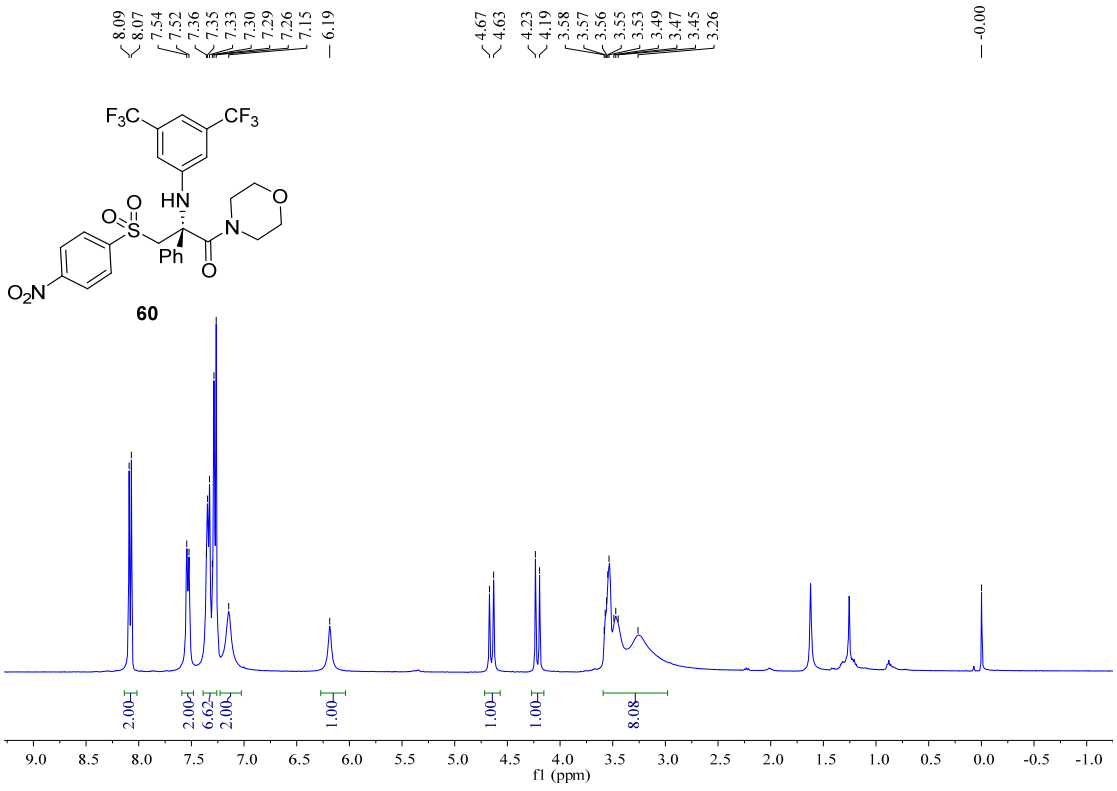

168.04
163.33
144.63
136.25
132.81
132.49
132.17
131.82
129.39
129.08
128.69
127.21
125.44
124.50
121.79
119.08
114.75
114.00
112.35
77.32
77.00
76.68
65.75
64.11
58.89
55.46
45.50
F3C
CF3
HN
O
S
N
Ph
MeO
58
f1 (ppm)

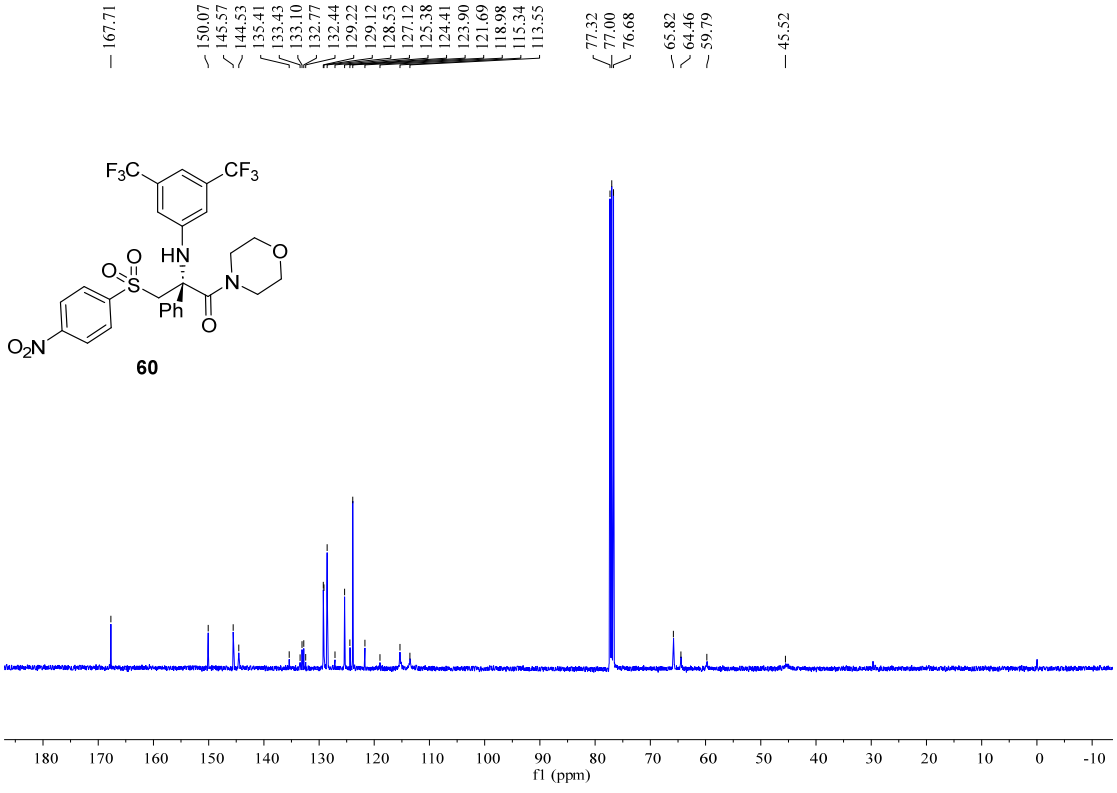

-63.26
F3C
CF3
HN
O
O
S
O
N
Ph
O
MeO
58
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

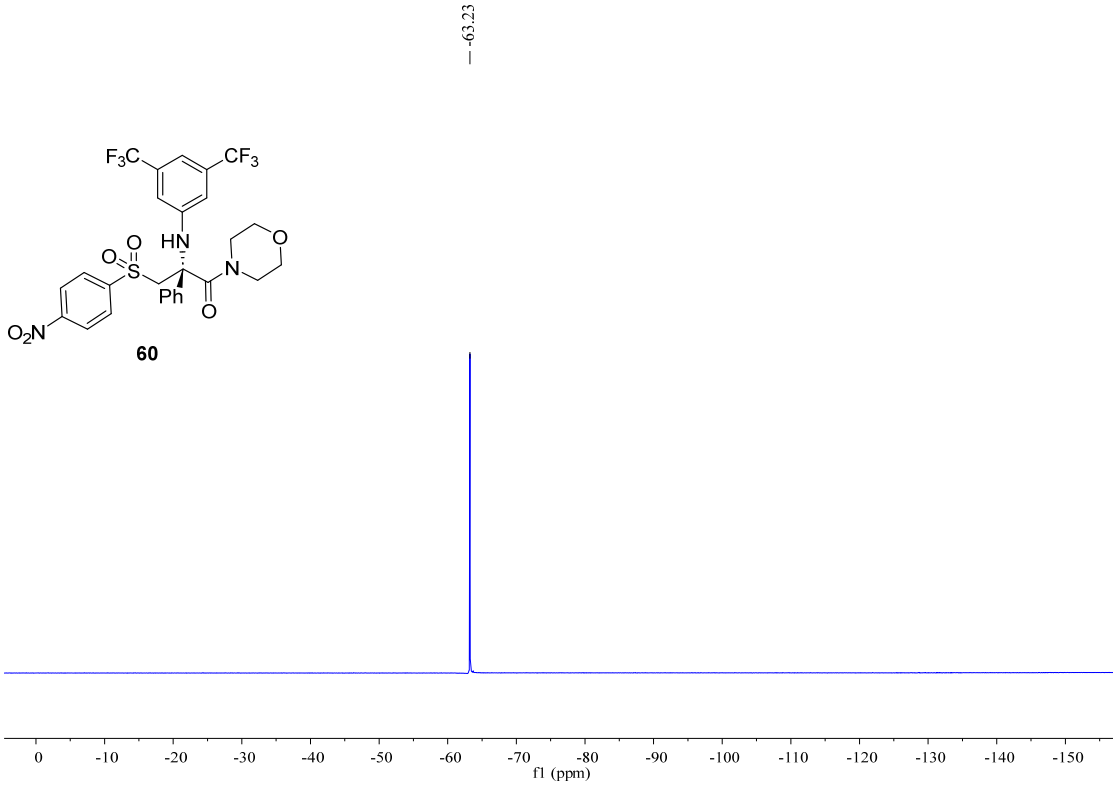

7.40
7.39
7.38
7.33
7.32
7.31
7.31
7.30
7.27
7.23
7.08
7.06
7.00
6.87
6.85
4.57
4.53
4.19
4.15
3.51
3.26
2.32
0.00
F3C
CF3
HN
O
O
S
N
O
Ph
O
Me
59
7.36
1.00
4.00
1.00
0.96
1.00
8.00
3.05
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

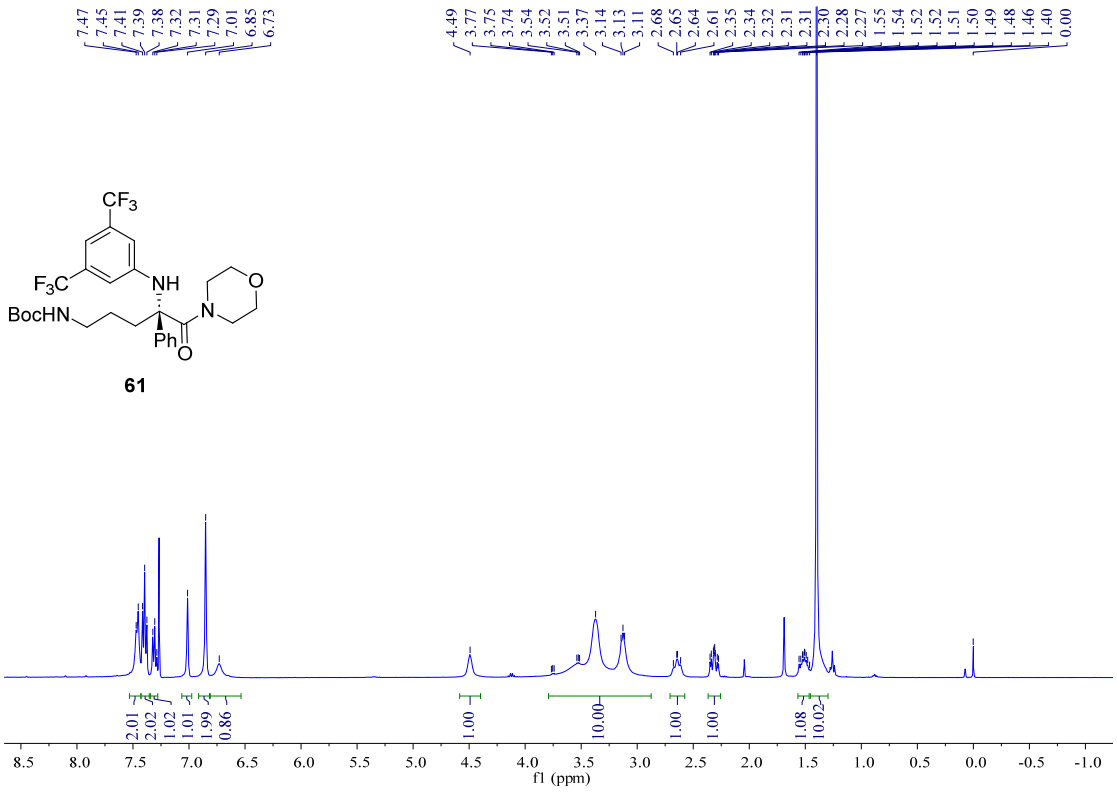

168.03
144.63
144.43
137.35
132.86
132.55
132.22
131.88
129.50
129.11
128.71
127.23
125.46
124.51
121.80
119.09
114.83
112.42
77.32
77.00
76.68
65.79
64.18
58.92
45.50
21.34
F3C
CF3
HN
O
O
S
N
O
Ph
O
Me
59
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-1
f1 (ppm)

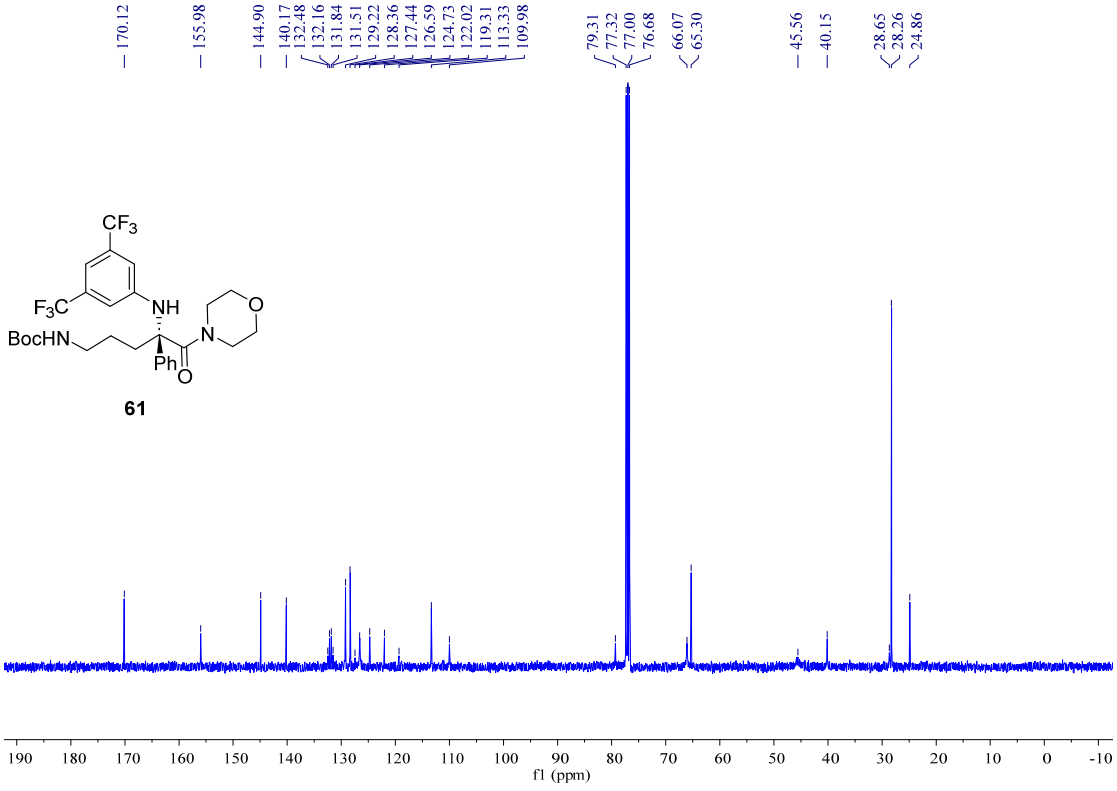

-63.26
F3C
CF3
HN
O
O
S
N
O
Ph
O
Me
59
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

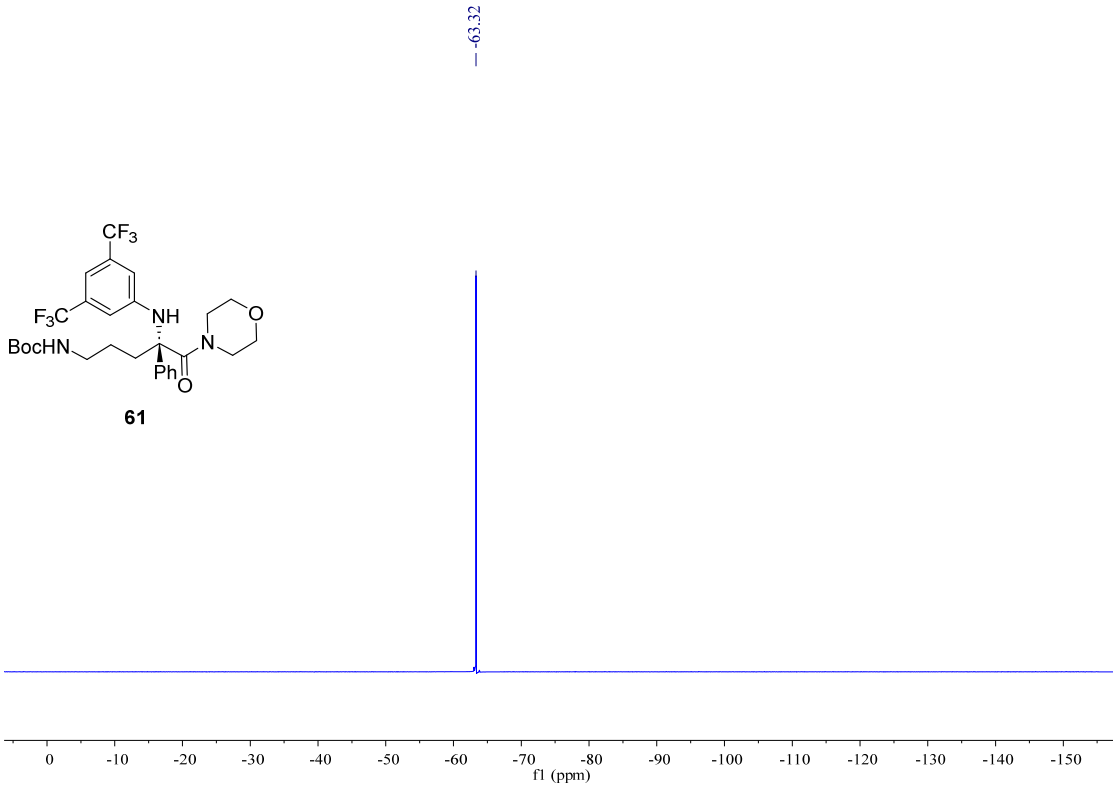

8.09
8.07
7.54
7.52
7.36
7.35
7.33
7.30
7.29
7.26
7.15
6.19
4.67
4.63
4.23
4.19
3.58
3.57
3.56
3.55
3.53
3.49
3.47
3.45
3.26
0.00
F3C
CF3
HN
O
O
S
N
O
Ph
O
O2N
60
2.00
2.00
6.62
2.00
1.00
1.00
1.00
8.08
f1 (ppm)

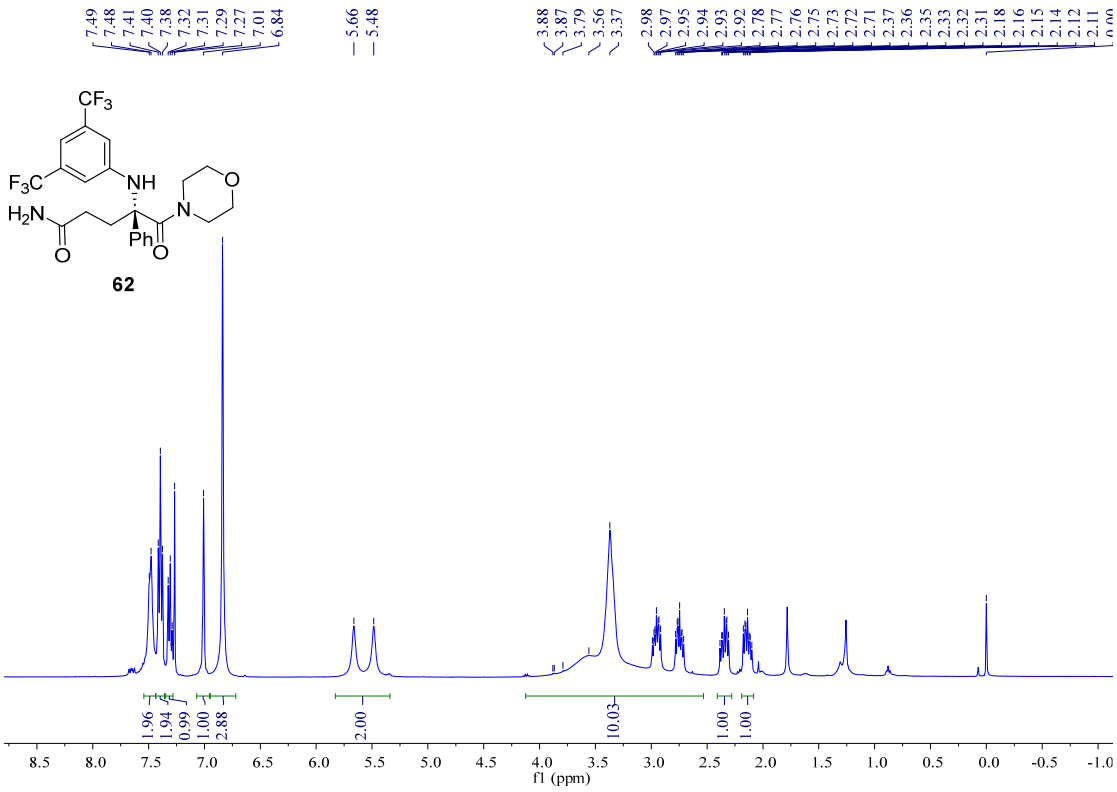

167.71
150.07
145.57
144.53
135.41
133.43
133.10
132.77
132.44
129.22
129.12
128.53
127.12
125.38
124.41
123.90
121.69
118.98
115.34
113.55
77.32
77.00
76.68
65.82
64.46
59.79
45.52
F3C
CF3
HN
O
O
S
N
O
Ph
O
O2N
60
f1 (ppm)

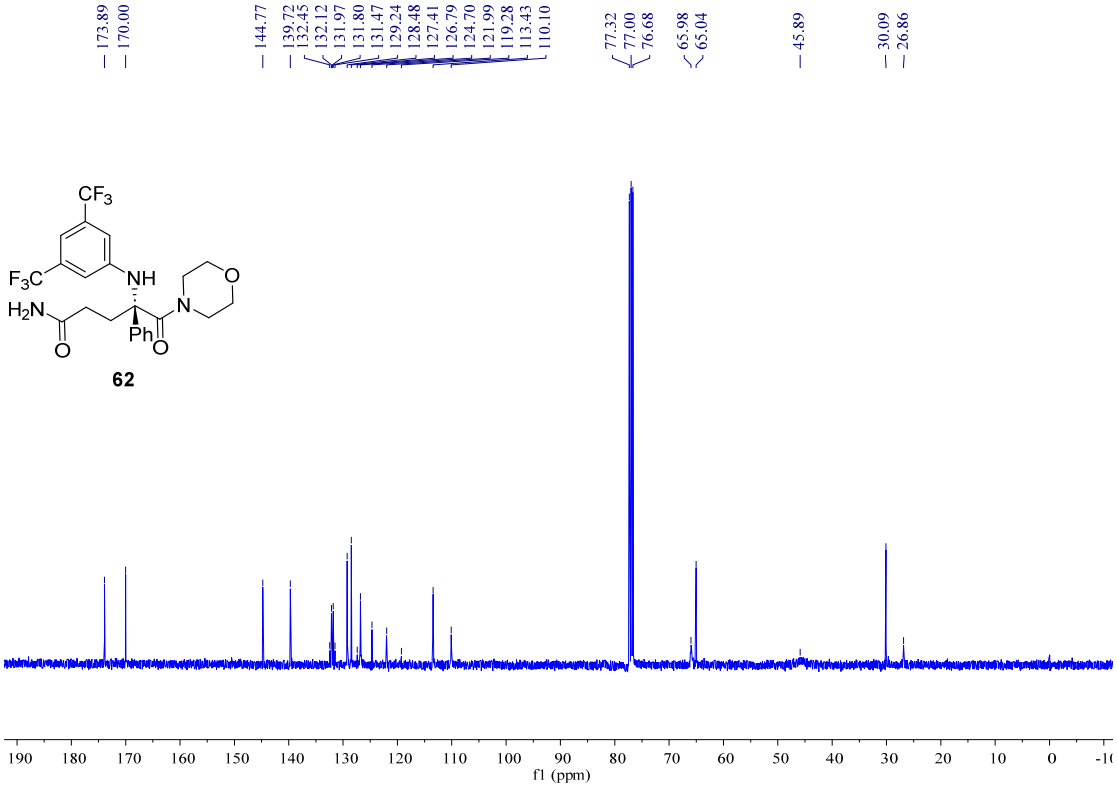

-63.23
F3C
CF3
HN
O
O
S
Ph
N
O
O2N
60
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

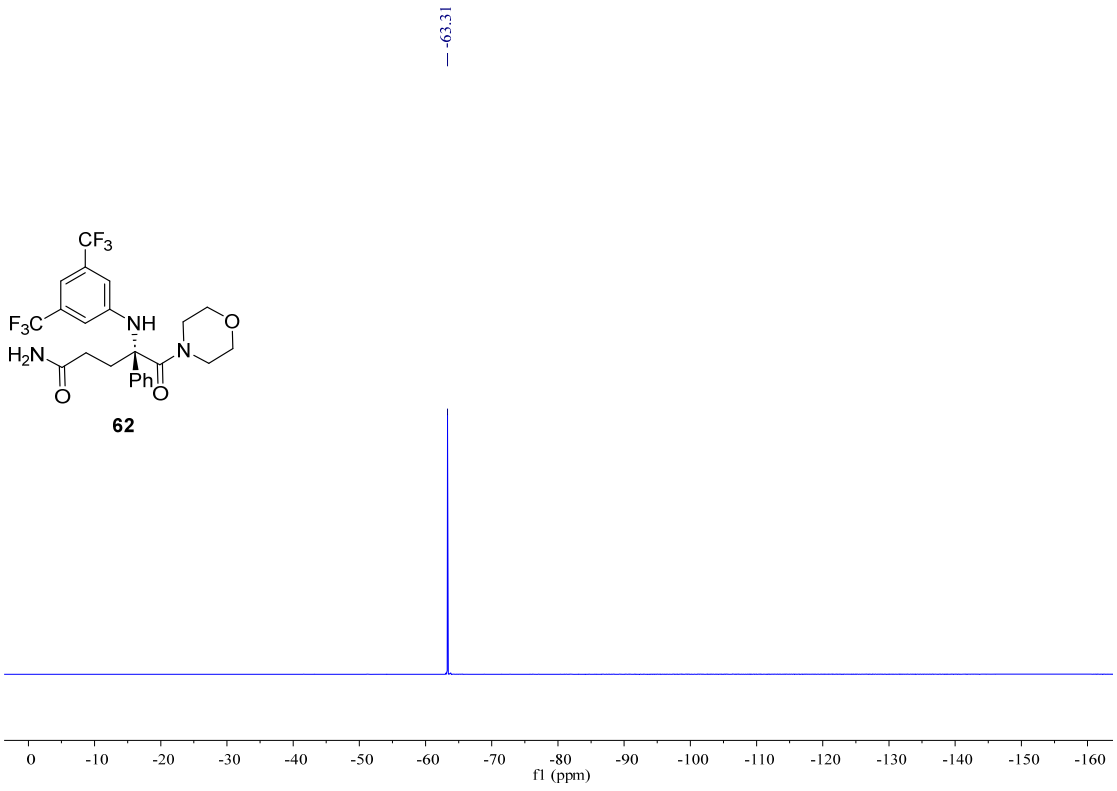

7.47
7.45
7.41
7.39
7.38
7.32
7.31
7.29
7.01
6.85
6.73
4.49
3.77
3.75
3.74
3.54
3.52
3.51
3.37
3.14
3.13
3.11
2.68
2.65
2.64
2.61
2.35
2.34
2.32
2.31
2.31
2.30
2.28
2.27
1.55
1.54
1.52
1.52
1.51
1.50
1.49
1.48
1.46
1.40
0.00
CF3
F3C
NH
O
N
BocHN
Ph
O
61
2.01
2.02
1.02
1.01
1.99
0.86
1.00
10.00
1.00
1.00
1.08
10.02
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)


170.12
155.98
144.90
140.17
132.48
132.16
131.84
131.51
129.22
128.36
127.44
126.59
124.73
122.02
119.31
113.33
109.98
79.31
77.32
77.00
76.68
66.07
65.30
45.56
40.15
28.65
28.26
24.86
CF3
F3C
NH
O
N
BocHN
Ph
O
61
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


-63.32
CF3
F3C
NH
O
BocHN
N
Ph
O
61
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)


7.49
7.48
7.41
7.40
7.38
7.32
7.31
7.29
7.27
7.01
6.84
5.66
5.48
3.88
3.87
3.79
3.56
3.37
2.98
2.97
2.95
2.94
2.93
2.92
2.78
2.77
2.76
2.75
2.73
2.72
2.71
2.37
2.36
2.35
2.33
2.32
2.31
2.18
2.16
2.15
2.14
2.12
2.11
CF3
F3C
NH
H2N
O
N
Ph
O
O
62
1.96
1.94
0.99
1.00
2.88
2.00
10.03
1.00
1.00
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)


173.89
170.00
144.77
139.72
132.45
132.12
131.97
131.80
131.47
129.24
128.48
127.41
126.79
124.70
121.99
119.28
113.43
110.10
77.32
77.00
76.68
65.98
65.04
45.89
30.09
26.86
CF3
F3C
NH
H2N
O
N
Ph
O
O
62
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


-63.31
CF3
F3C
NH
H2N
O
N
Ph
O
O
62
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
f1 (ppm)


9.17
7.41
7.40
7.38
7.38
7.37
7.37
7.35
7.34
7.27
7.27
7.25
7.23
7.20
7.20
7.19
7.19
7.18
7.16
7.12
7.05
7.04
6.78
5.87
2.89
2.88
2.87
2.86
2.85
2.84
2.83
2.82
2.80
2.79
2.78
2.77
2.76
2.75
2.74
2.73
2.62
2.61
2.59
2.59
2.58
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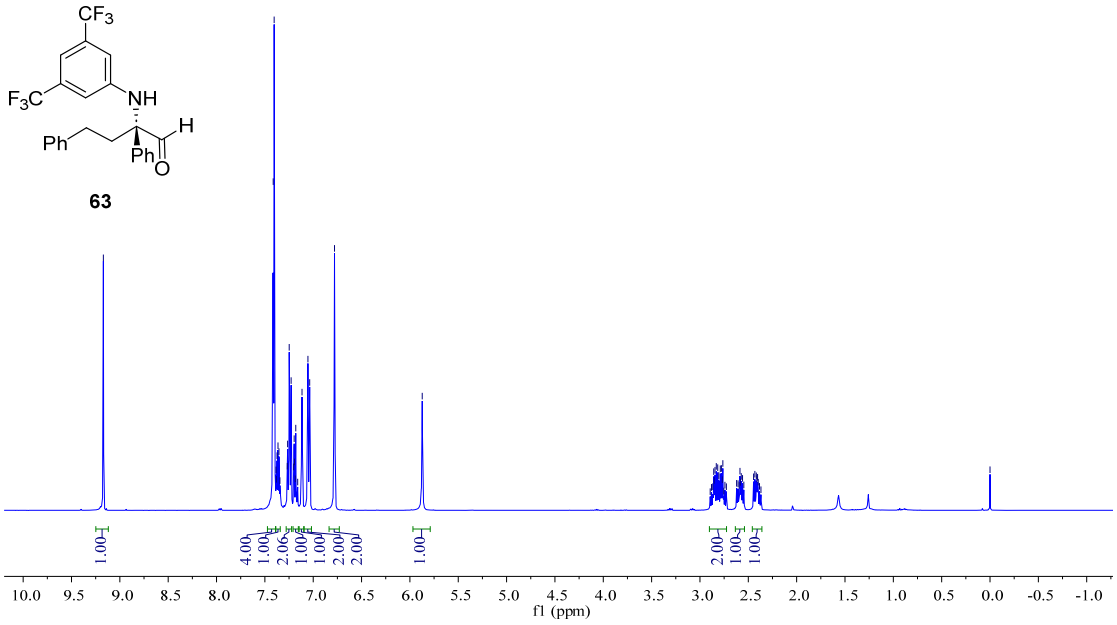
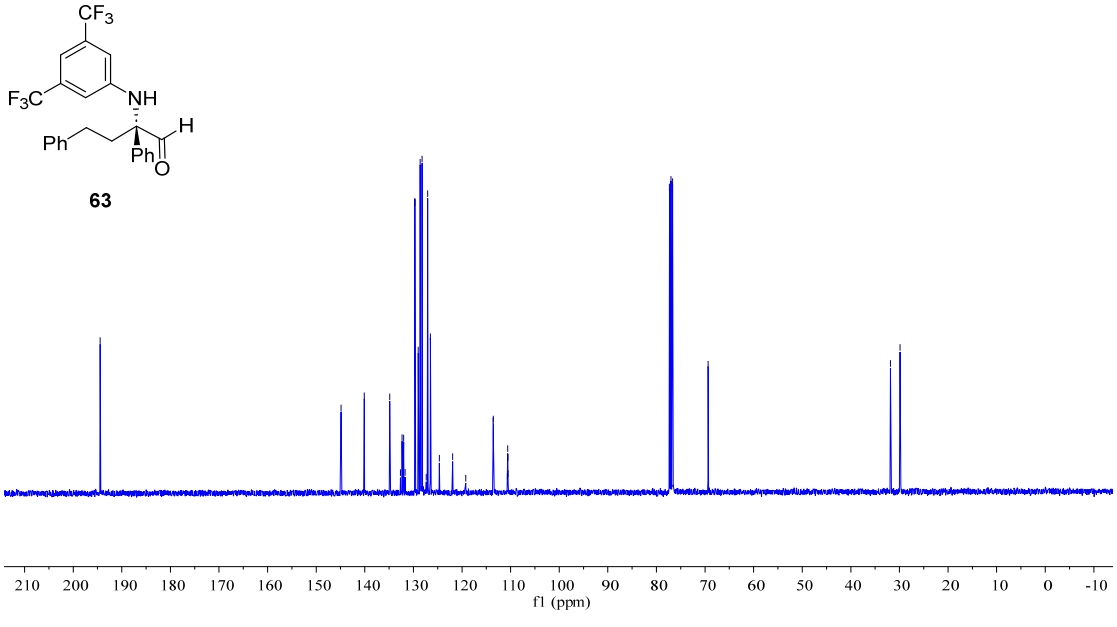
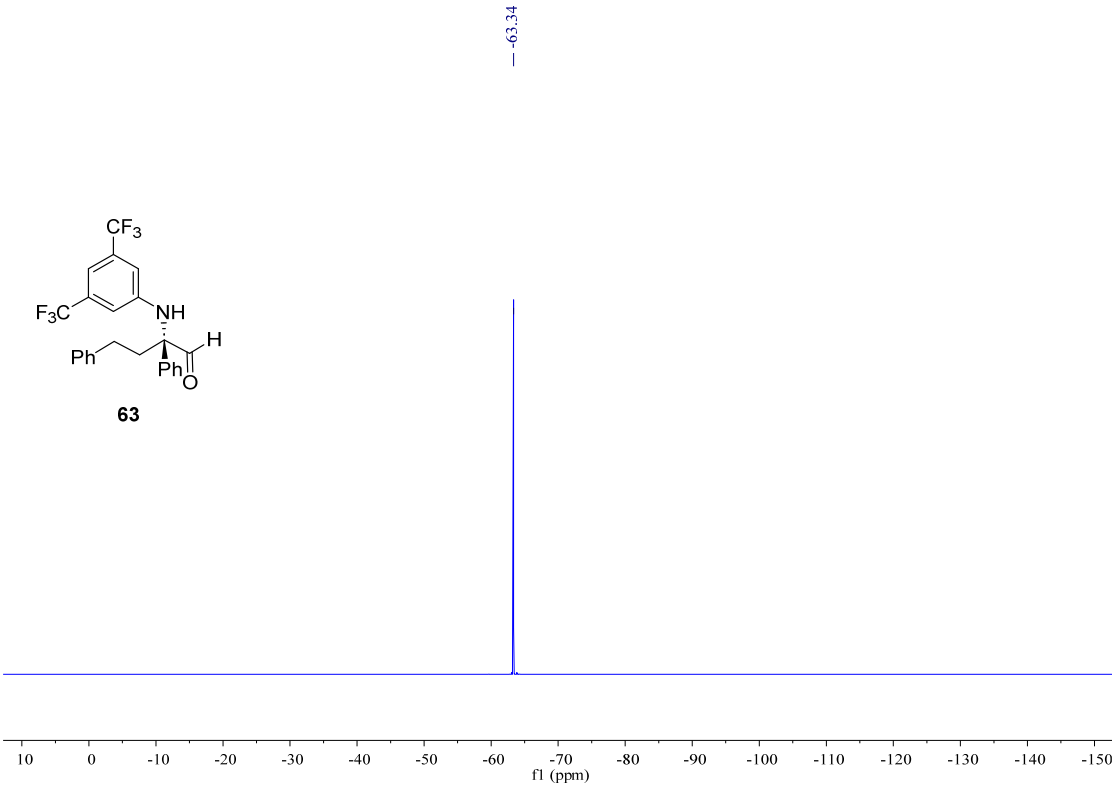
2.40
2.40
2.38
2.37
-0.00

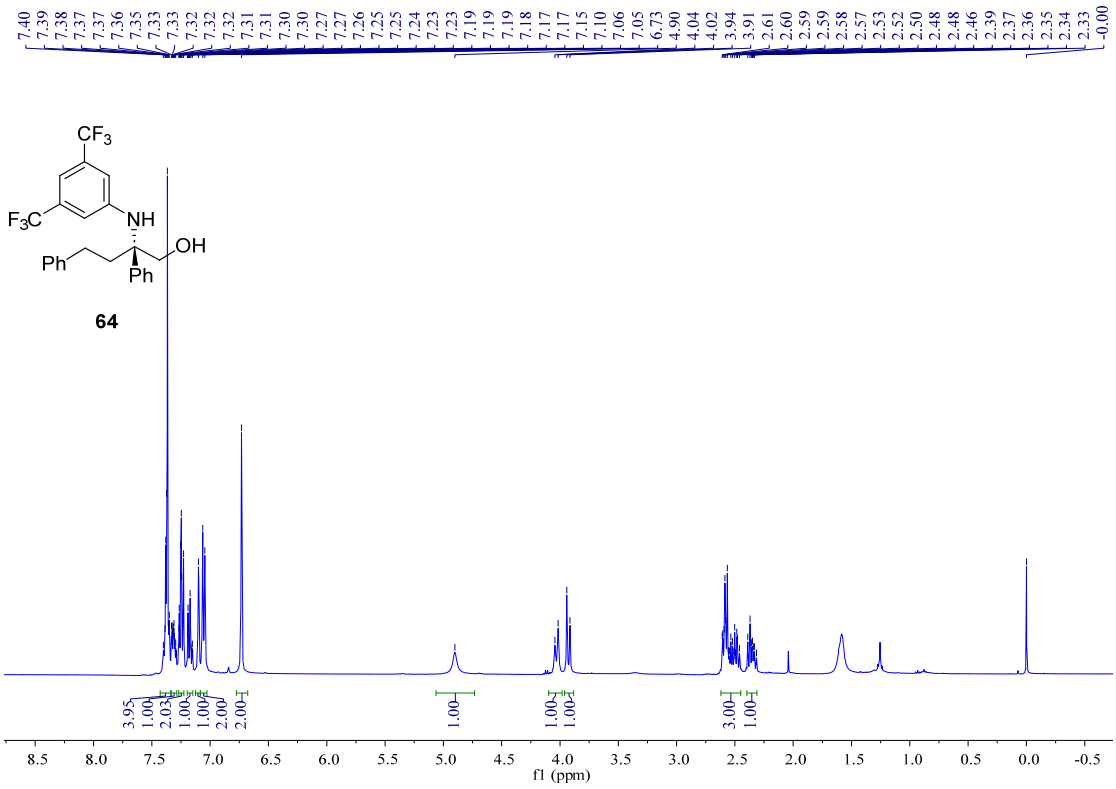

CF3
F3C
NH
H
Ph
Ph
O
63
1.00
4.00
1.00
2.06
1.00
1.00
2.00
2.00
1.00
2.00
1.00
1.00
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

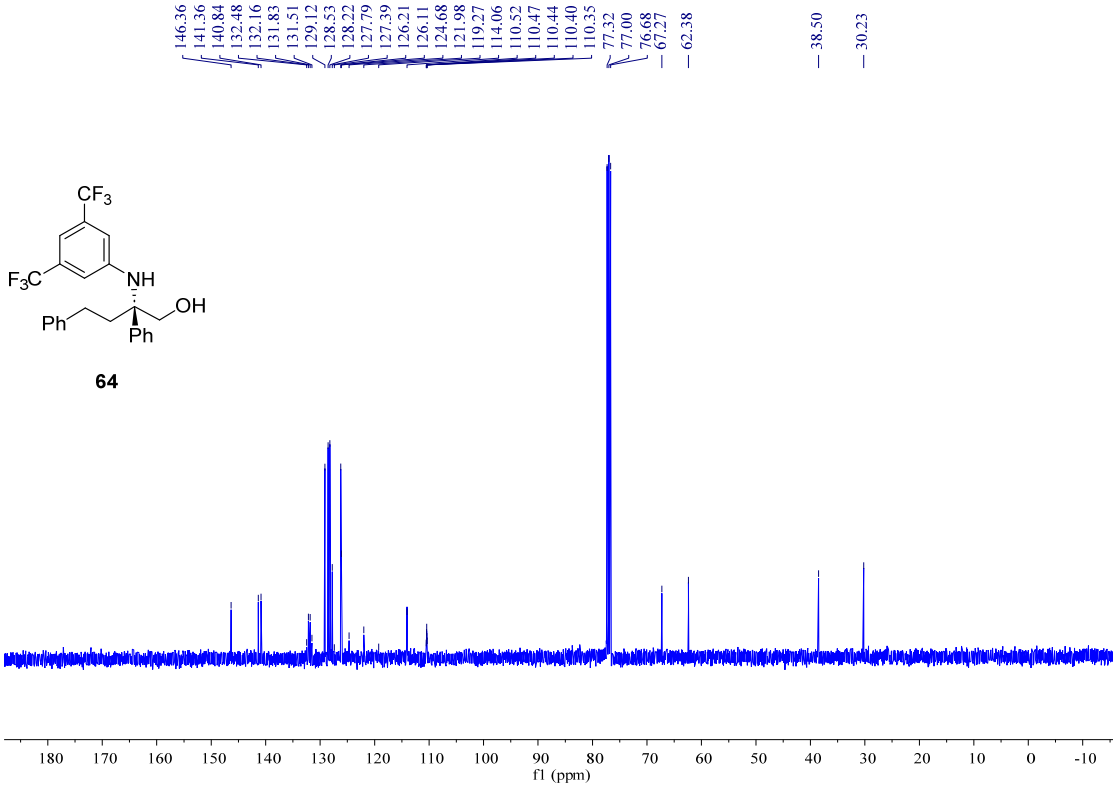

194.43
144.88
140.11
134.87
132.67
132.35
132.02
131.69
129.70
129.01
128.63
128.22
127.36
127.08
126.51
124.65
121.94
119.23
113.59
113.56
110.68
110.64
110.60
110.56
110.52
77.32
77.00
76.68
69.37
31.85
29.88

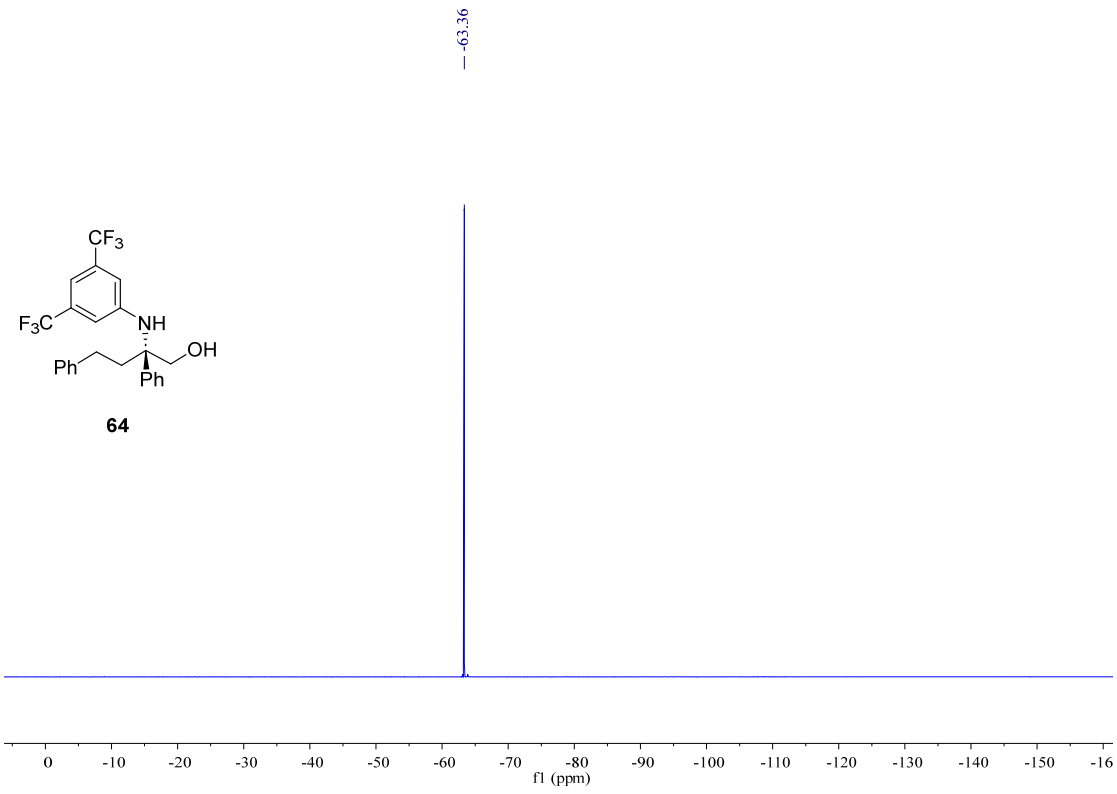

CF3
F3C
NH
H
Ph
Ph
O
63
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.34
CF3
F3C
NH
H
Ph
Ph
O
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

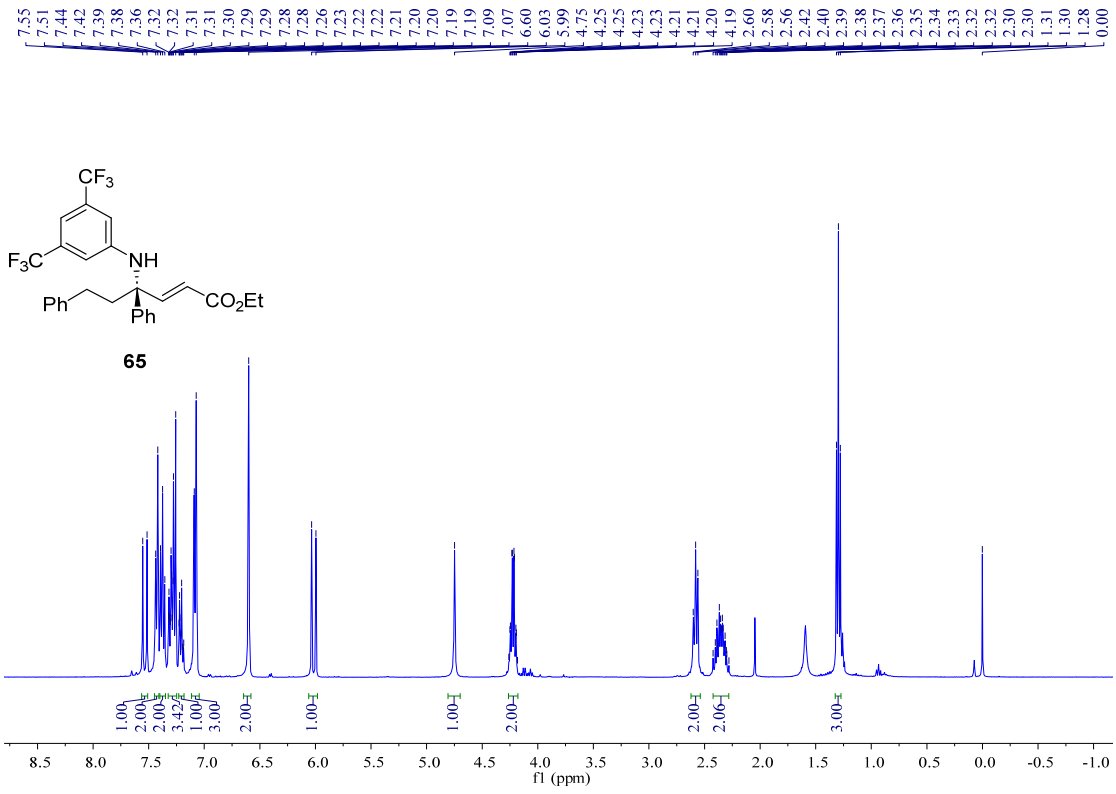
63


7.40 7.39 7.38 7.37 7.37 7.36 7.35 7.33 7.33 7.32 7.32 7.32 7.31 7.31 7.30 7.30 7.27 7.27 7.26 7.25 7.25 7.24 7.23 7.23 7.19 7.19 7.19 7.18 7.17 7.17 7.15 7.10 7.06 7.05 6.73 4.90 4.04 4.02 3.94 3.91 2.61 2.60 2.59 2.59 2.58 2.57 2.53 2.52 2.50 2.48 2.48 2.46 2.39 2.37 2.36 2.35 2.34 2.33 -0.00
CF3
F3C
NH
OH
Ph
Ph
64
3.95 1.00 2.03 1.00 1.00 2.00 2.00 1.00 1.00 1.00 3.00 1.00
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)


146.36 141.36 140.84 132.48 132.16 131.83 131.51 129.12 128.53 128.22 127.79 127.39 126.21 126.11 124.68 121.98 119.27 114.06 110.52 110.47 110.44 110.40 110.35 77.32 77.00 76.68 67.27 62.38 38.50 30.23
CF3
F3C
NH
OH
Ph
Ph
64
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-63.36
CF3
F3C
NH
OH
Ph
Ph
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-16
f1 (ppm)

64


7.55
7.51
7.44
7.42
7.39
7.38
7.36
7.32
7.32
7.31
7.31
7.30
7.29
7.29
7.28
7.28
7.26
7.23
7.22
7.22
7.21
7.20
7.20
7.19
7.19
7.09
7.07
6.60
6.03
5.99
4.75
4.25
4.25
4.23
4.23
4.21
4.21
4.20
4.19
2.60
2.58
2.56
2.42
2.40
2.39
2.38
2.37
2.36
2.35
2.34
2.33
2.32
2.32
2.30
2.30
1.31
1.30
1.28
0.00
CF3
F3C
NH
Ph
CO2Et
Ph
65
1.00
2.00
2.00
3.42
1.00
3.00
2.00
1.00
1.00
2.00
2.00
2.06
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
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2.0
1.5
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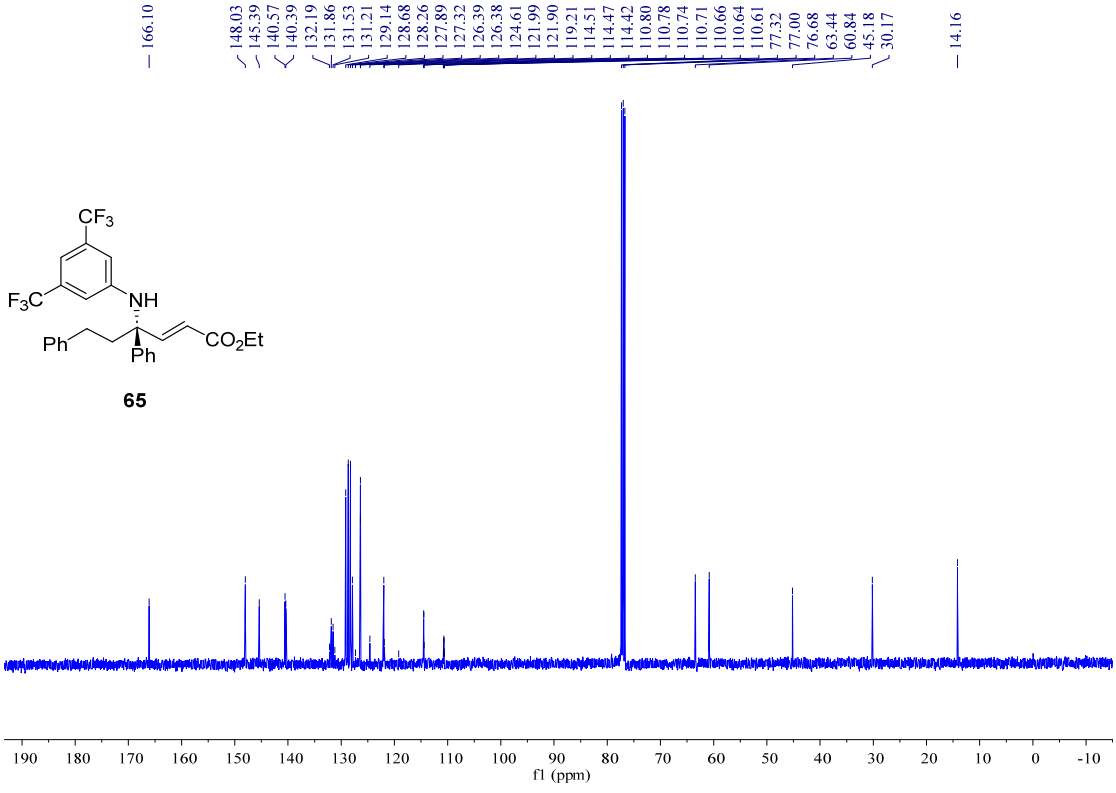
-0.5
-1.0
f1 (ppm)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145.39
140.57
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131.53
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128.68
128.26
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127.32
126.39
126.38
124.61
121.99
121.90
119.21
114.51
114.47
114.42
110.80
110.78
110.74
110.71
110.66
110.64
110.61
77.32
77.00
76.68
63.44
60.84
45.18
30.17
14.16
CF3
F3C
NH
Ph
CO2Et
Ph
65
190
180
170
160
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140
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120
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100
90
80
70
60
50
40
30
20
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0
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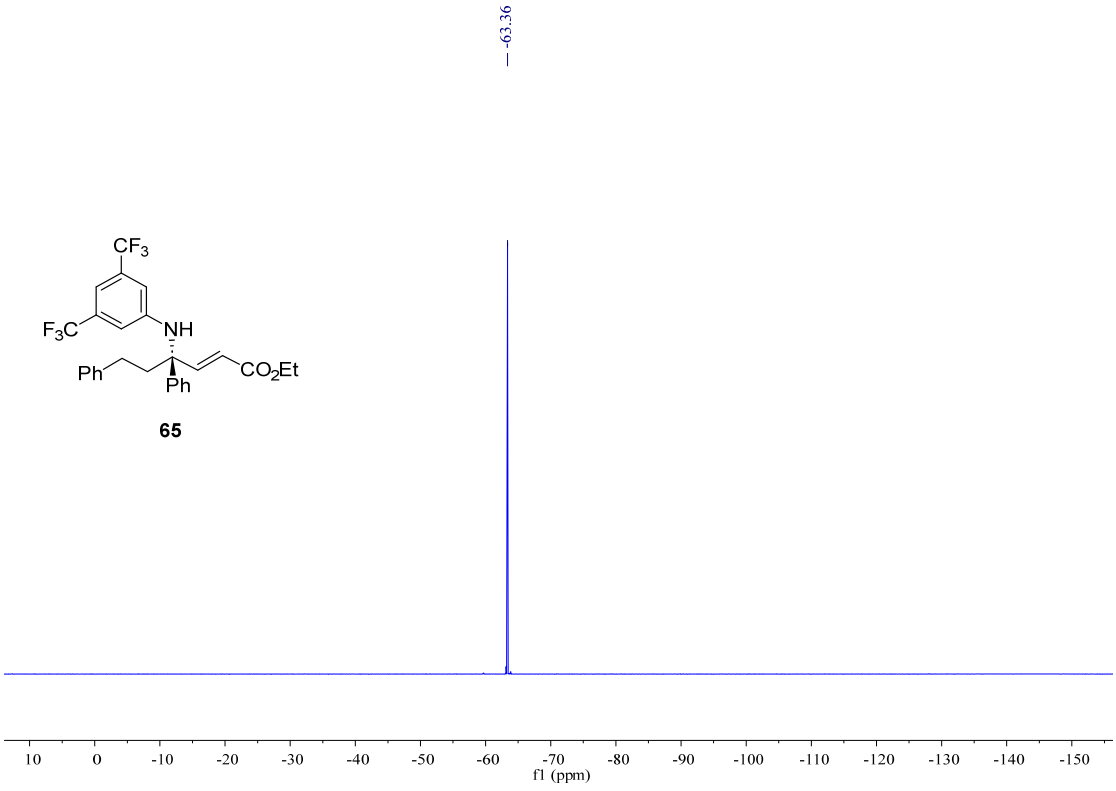
f1 (ppm)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CO2Et
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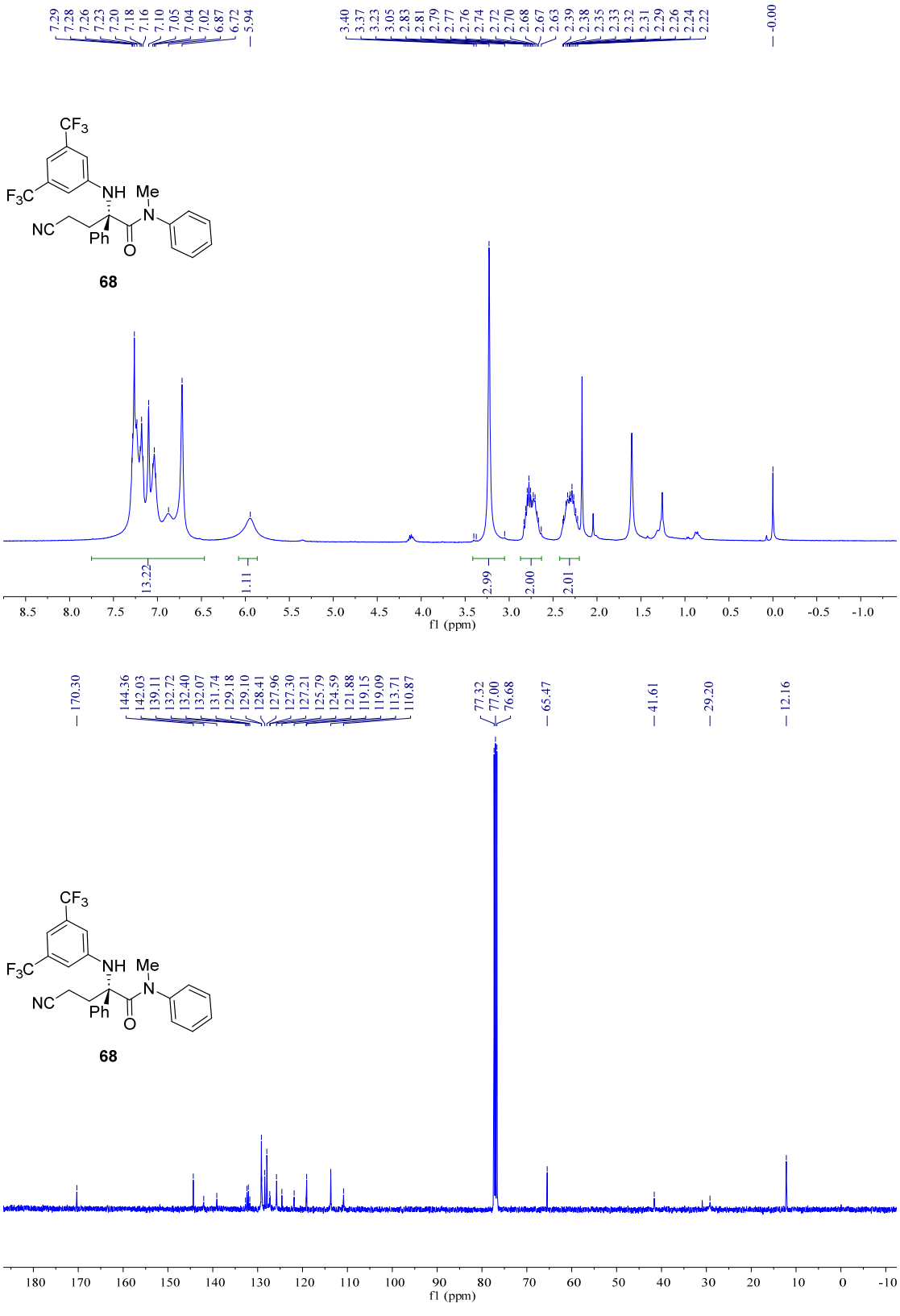
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)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7.10
7.05
7.04
7.02
6.87
6.72
5.94
3.40
3.37
3.23
3.05
2.83
2.81
2.79
2.77
2.76
2.74
2.72
2.70
2.68
2.67
2.63
2.39
2.38
2.35
2.33
2.32
2.31
2.29
2.26
2.24
2.22
-0.00
CF3
F3C
NH
Me
N
NC
Ph
O
68
13.22
1.11
2.99
2.00
2.01
f1 (ppm)
170.30
144.36
142.03
139.11
132.72
132.40
132.07
131.74
129.18
129.10
128.41
127.96
127.30
127.21
125.79
124.59
121.88
119.15
119.09
113.71
110.87
77.32
77.00
76.68
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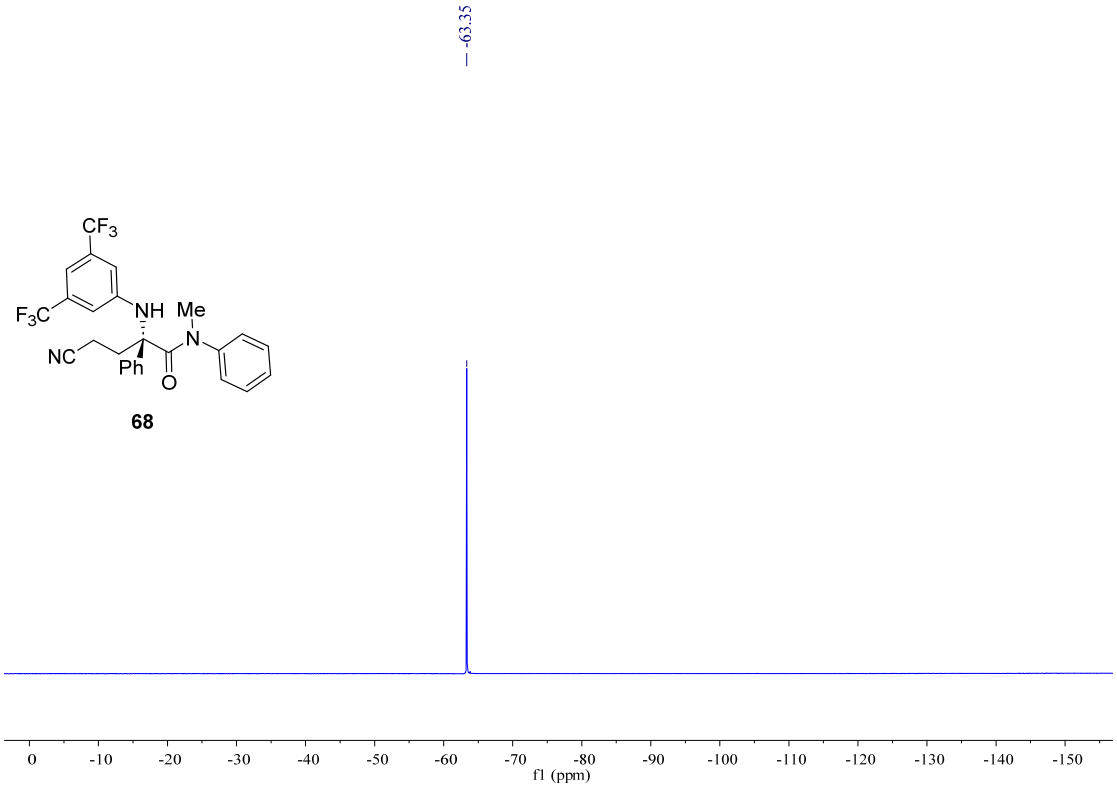
12.16
CF3
F3C
NH
Me
N
NC
Ph
O
68
f1 (ppm)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NH
Me
N
NC
Ph
O
0
-10
-20
-30
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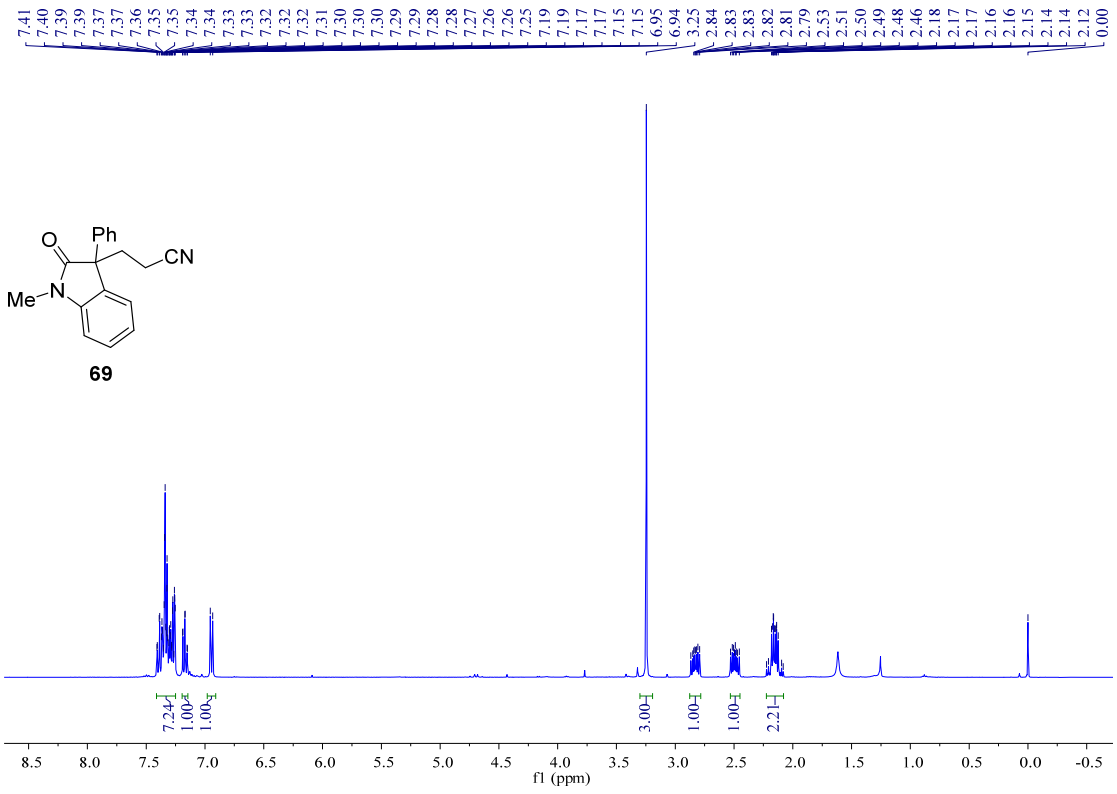
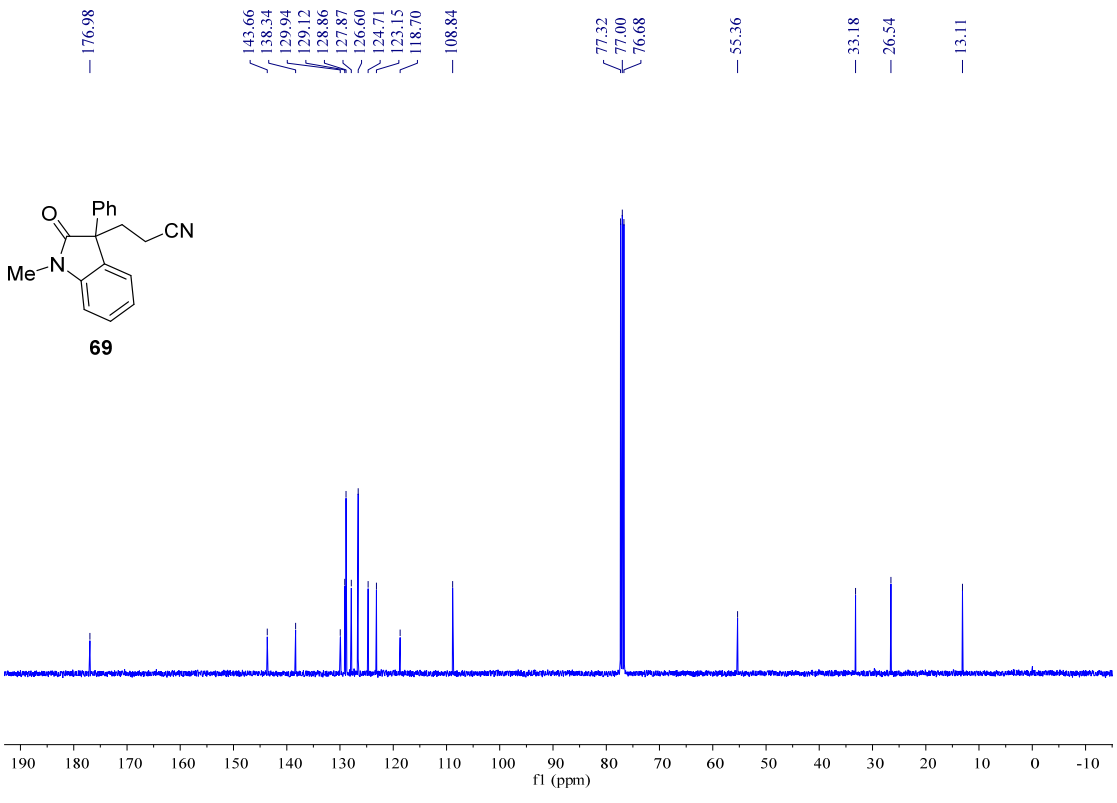
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

68


7.41 7.40 7.39 7.39 7.37 7.37 7.36 7.35 7.35 7.34 7.34 7.33 7.33 7.32 7.32 7.32 7.31 7.30 7.30 7.30 7.29 7.29 7.28 7.28 7.27 7.26 7.26 7.25 7.19 7.19 7.17 7.17 7.17 7.15 7.15 6.95 6.94 3.25 2.84 2.83 2.83 2.82 2.81 2.79 2.53 2.51 2.50 2.49 2.48 2.46 2.18 2.17 2.17 2.16 2.16 2.15 2.14 2.14 2.12 0.00
O
Ph
CN
Me
N
69
7.24
1.00
1.00
3.00
1.00
1.00
2.21
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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123.15
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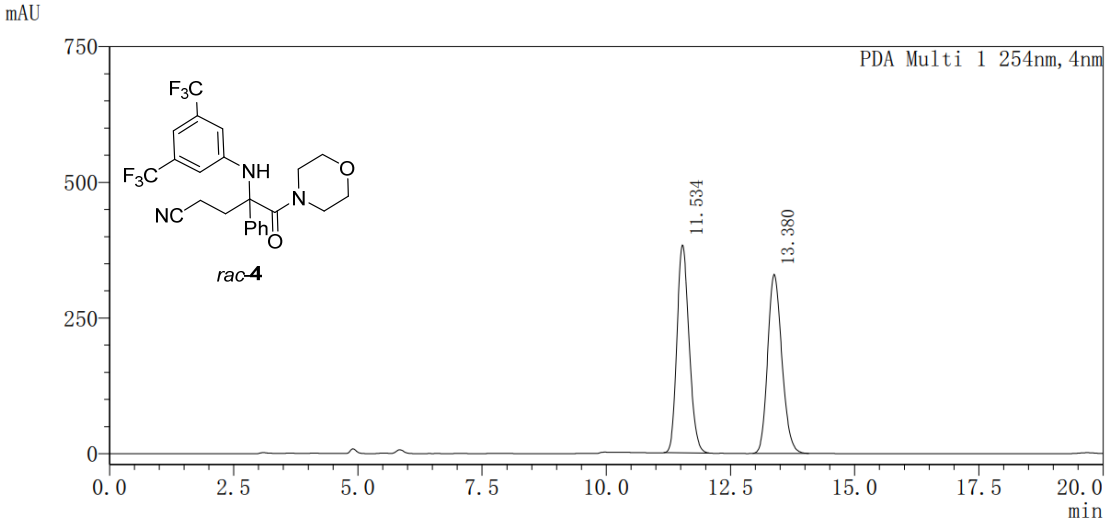
108.84
77.32
77.00
76.68
55.36
33.18
26.54
13.11
O
Ph
CN
Me
N
69
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

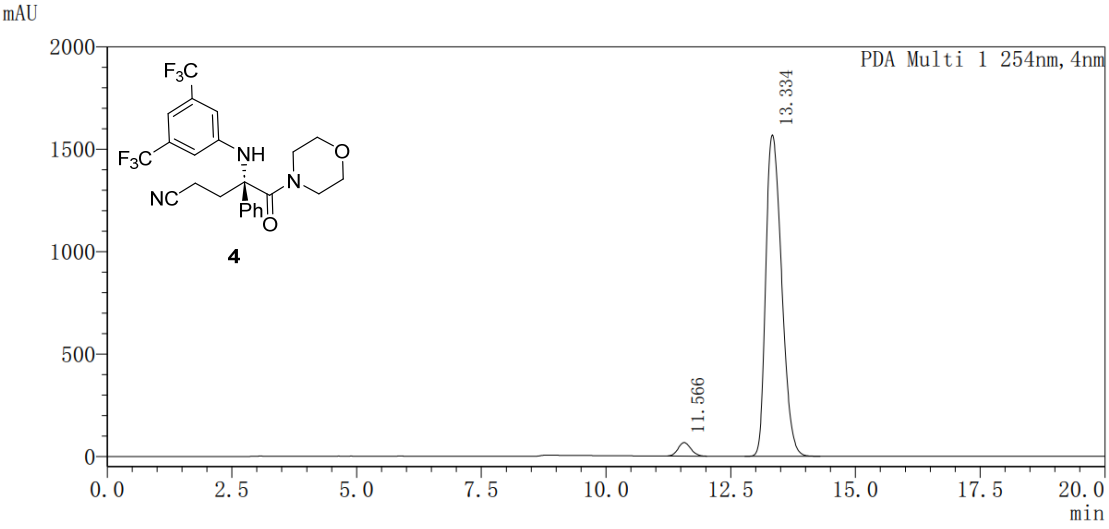
9. HPLC spectra



Peak Table

PDA Ch1 254nm

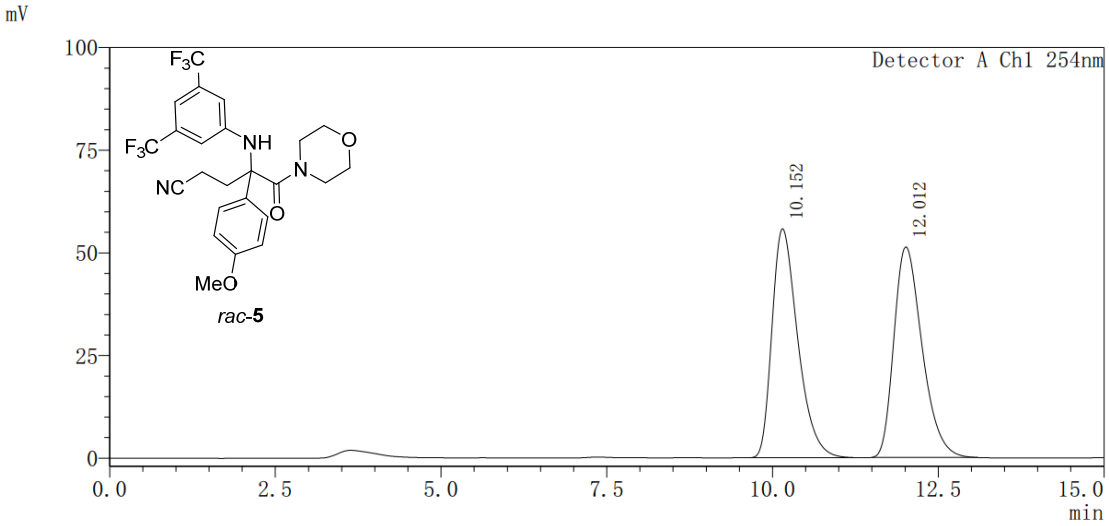
Peak#	Ret. Time	Area	Area%
1	11.534	6527054	49.868
2	13.380	6561543	50.132



Peak Table

PDA Ch1 254nm

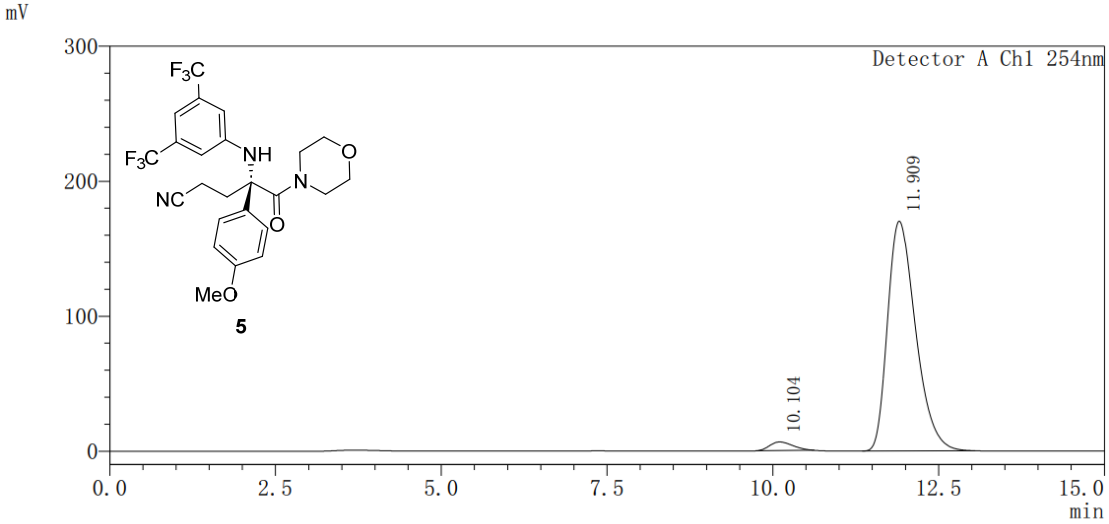
Peak#	Ret. Time	Area	Area%
1	11.566	1160197	3.236
2	13.334	34695928	96.764



Peak Table

Detector A Ch1 254nm

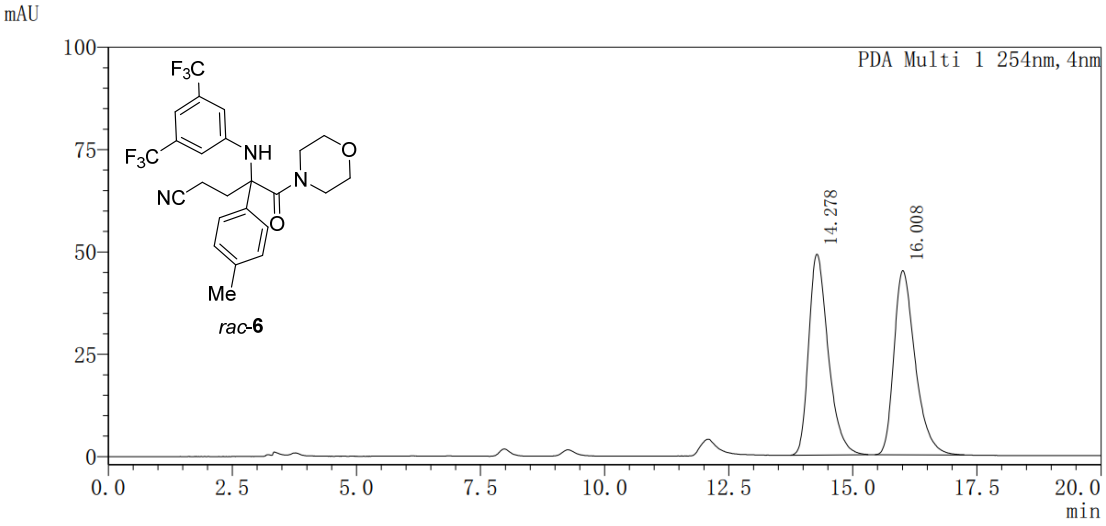
Peak#	Ret. Time	Area	Area%
1	10.152	1508493	50.035
2	12.012	1506363	49.965



Peak Table

Detector A Ch1 254nm

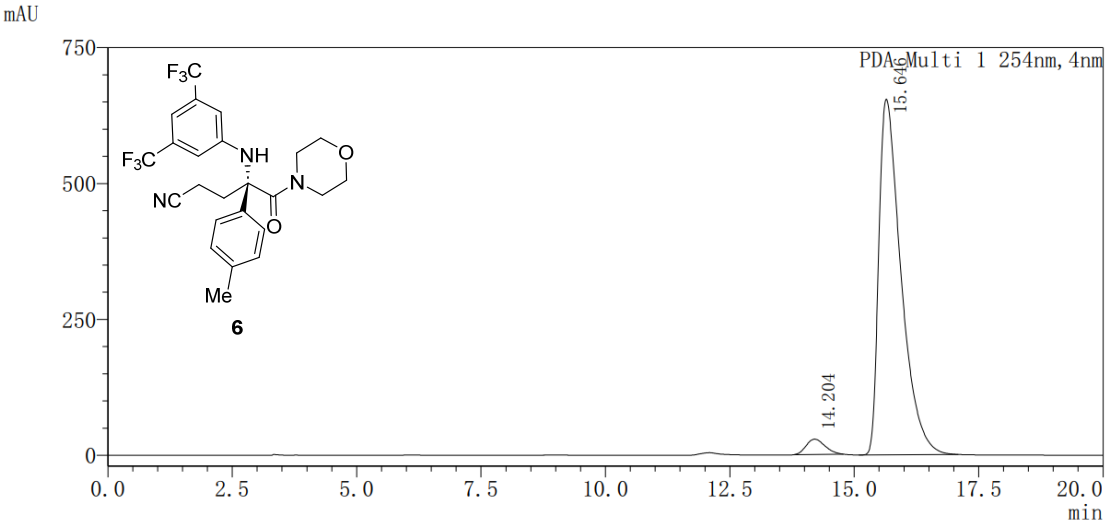
Peak#	Ret. Time	Area	Area%
1	10.104	158300	3.078
2	11.909	4985093	96.922



Peak Table

PDA Ch1 254nm

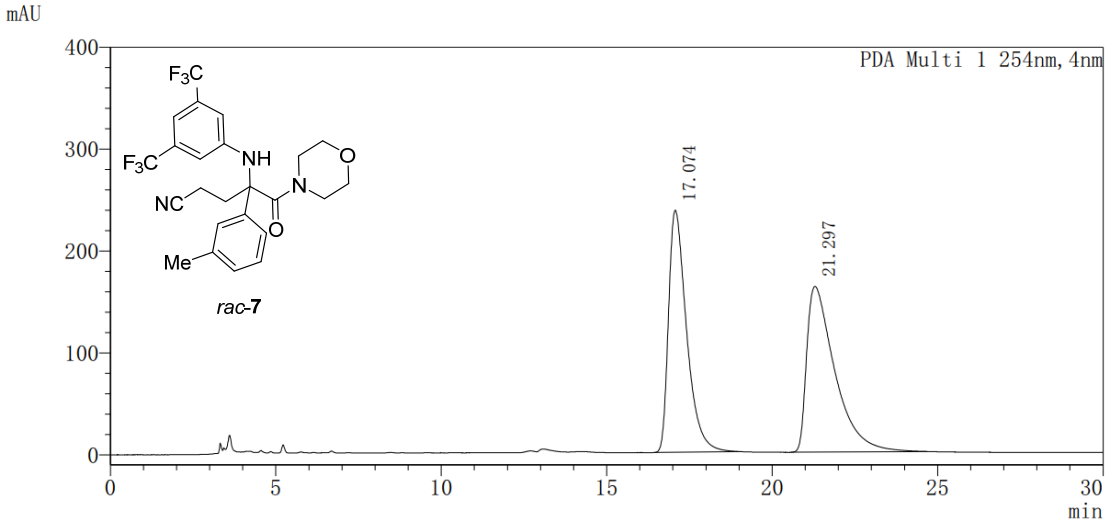
Peak#	Ret. Time	Area	Area%
1	14.278	1343539	50.035
2	16.008	1341668	49.965



Peak Table

PDA Ch1 254nm

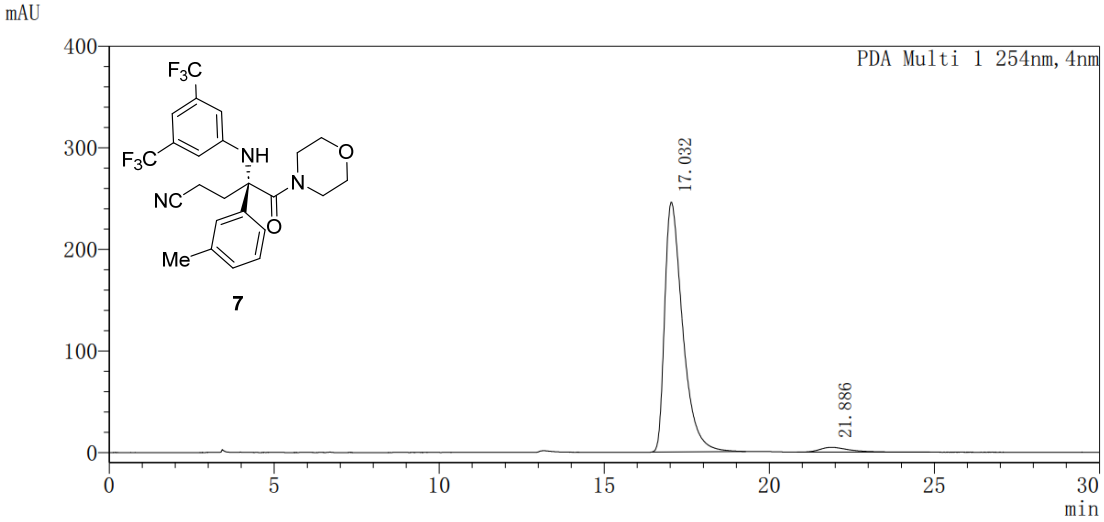
Peak#	Ret. Time	Area	Area%
1	14.204	750738	3.565
2	15.646	20308248	96.435



Peak Table

PDA Ch1 254nm

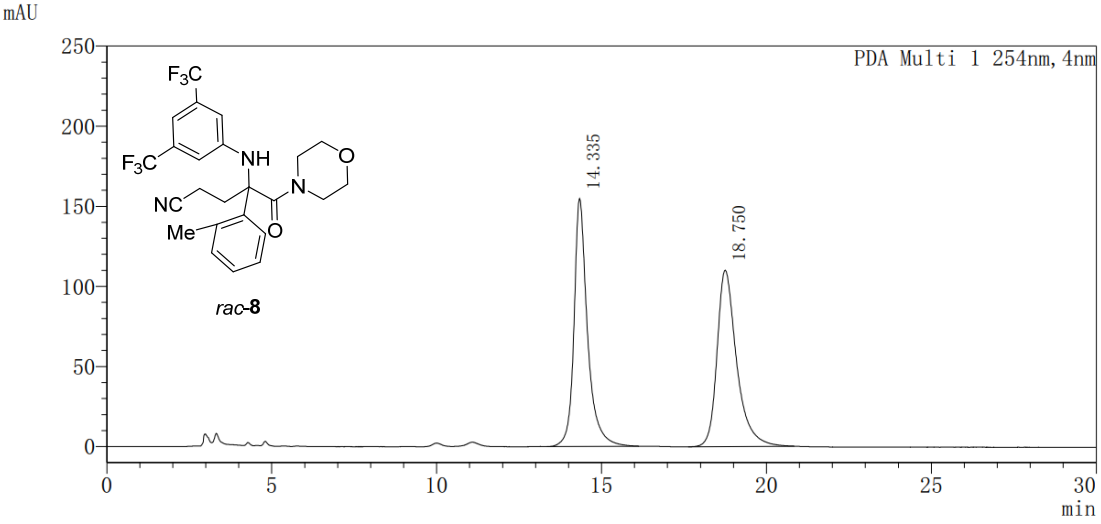
Peak#	Ret. Time	Area	Area%
1	17.074	9027722	48.389
2	21.297	9628700	51.611



Peak Table

PDA Ch1 254nm

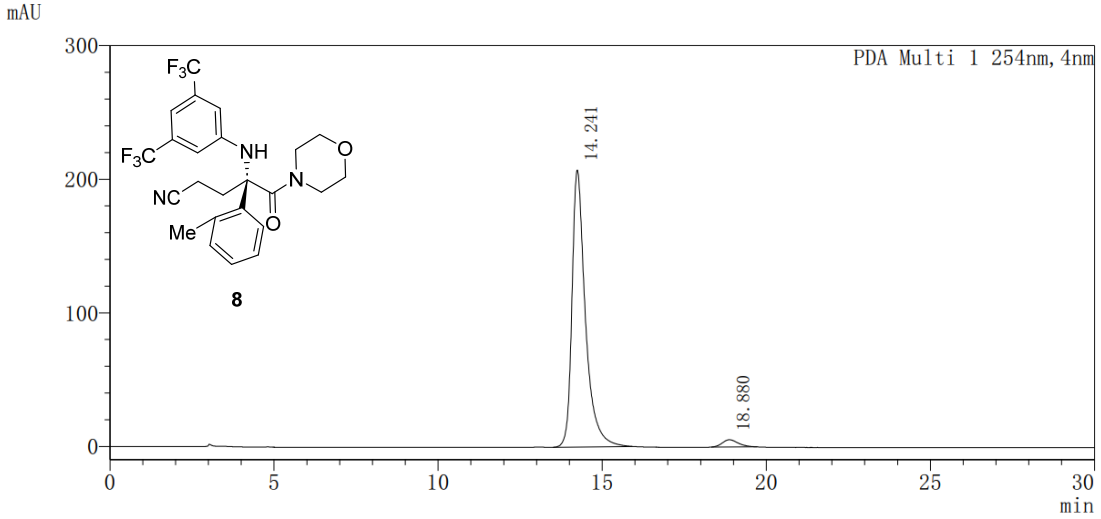
Peak#	Ret. Time	Area	Area%
1	17.032	9317044	96.971
2	21.886	291064	3.029



Peak Table

PDA Ch1 254nm

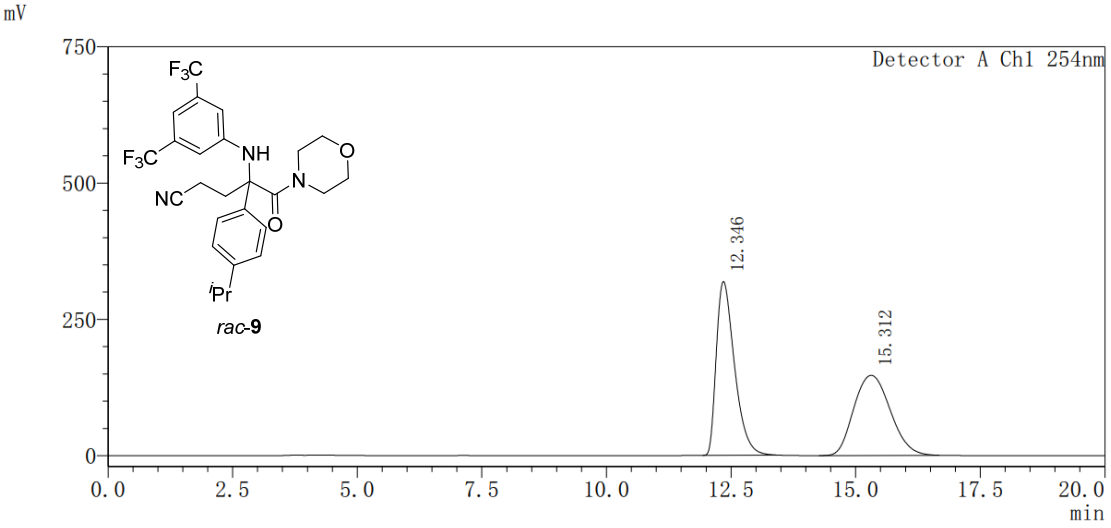
Peak#	Ret. Time	Area	Area%
1	14.335	4412789	49.198
2	18.750	4556613	50.802



Peak Table

PDA Ch1 254nm

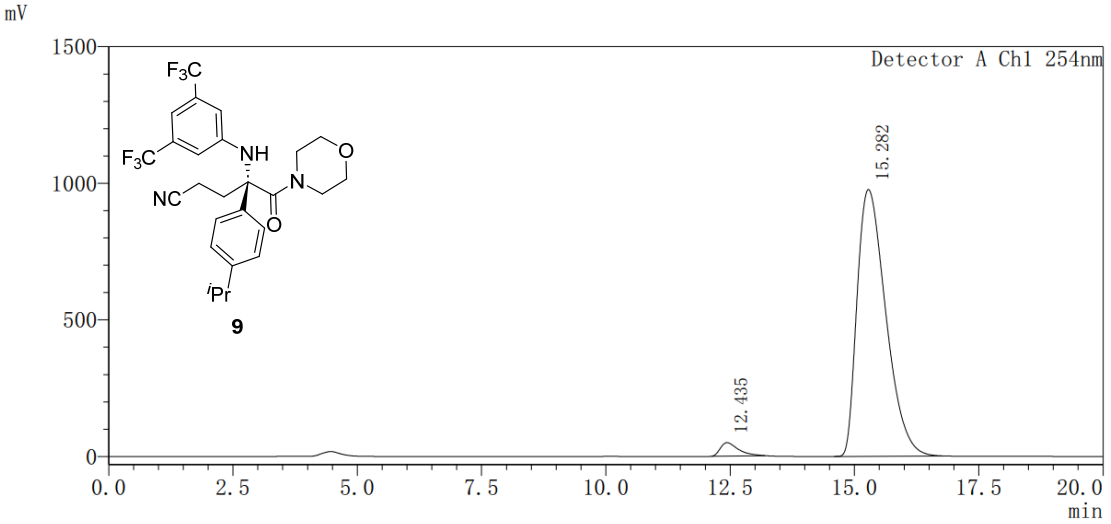
Peak#	Ret. Time	Area	Area%
1	14.241	5904122	96.983
2	18.880	183688	3.017



Peak Table

Detector A Ch1 254nm

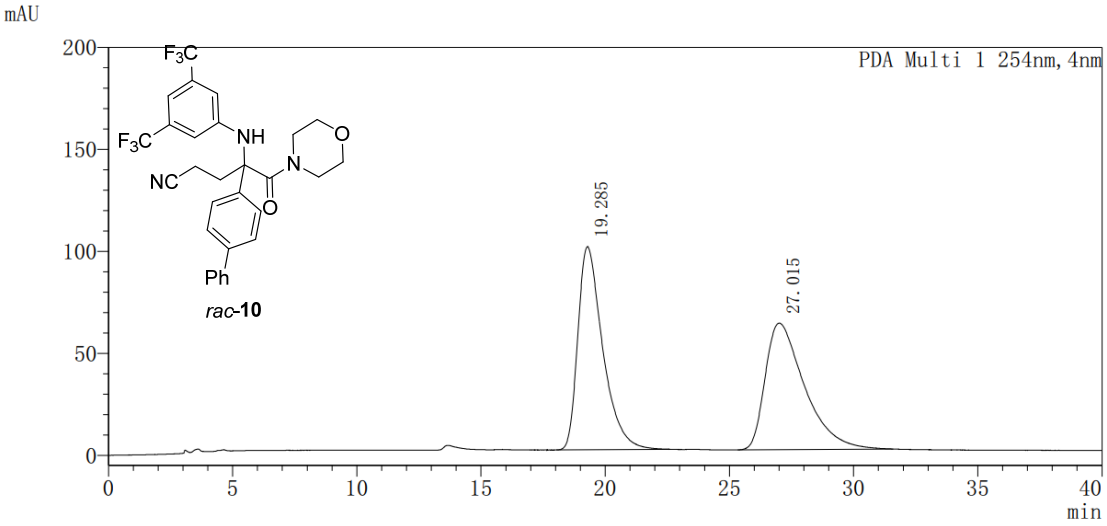
Peak#	Ret. Time	Area	Area%
1	12.346	8125728	52.025
2	15.312	7493261	47.975



Peak Table

Detector A Ch1 254nm

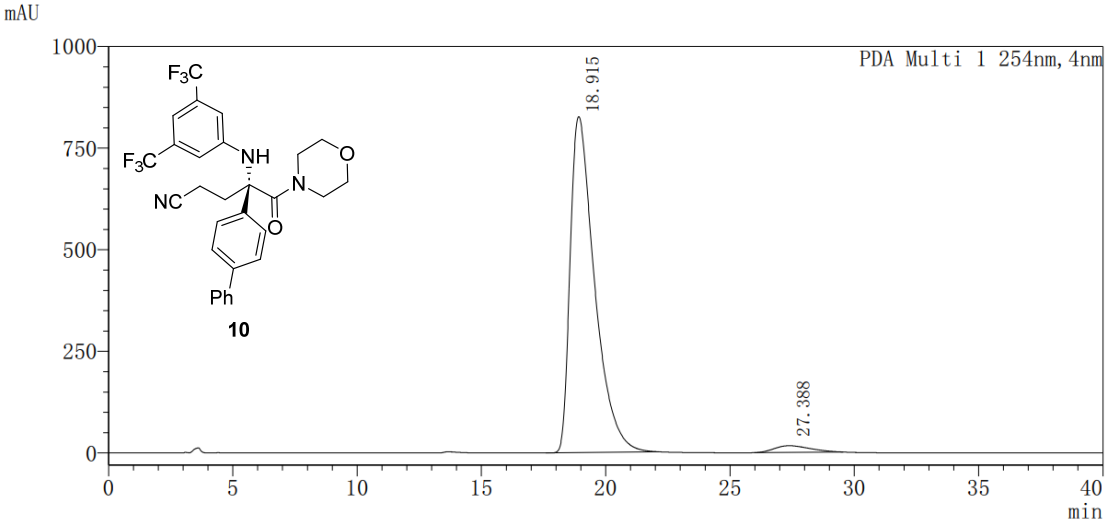
Peak#	Ret. Time	Area	Area%
1	12.435	1240782	3.060
2	15.282	39309306	96.940



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	19.285	6951278	50.406
2	27.015	6839179	49.594

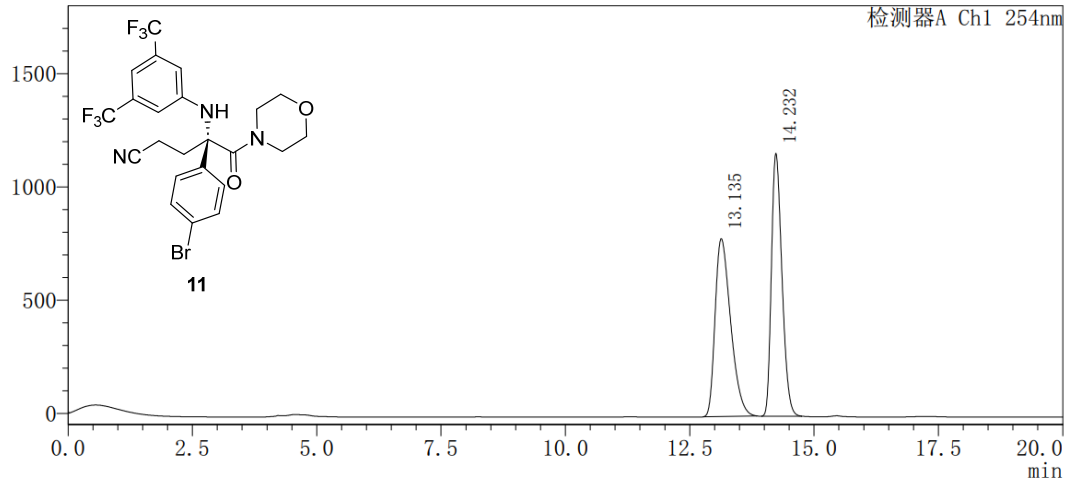


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	18.915	56474229	97.156
2	27.388	1653311	2.844

mV

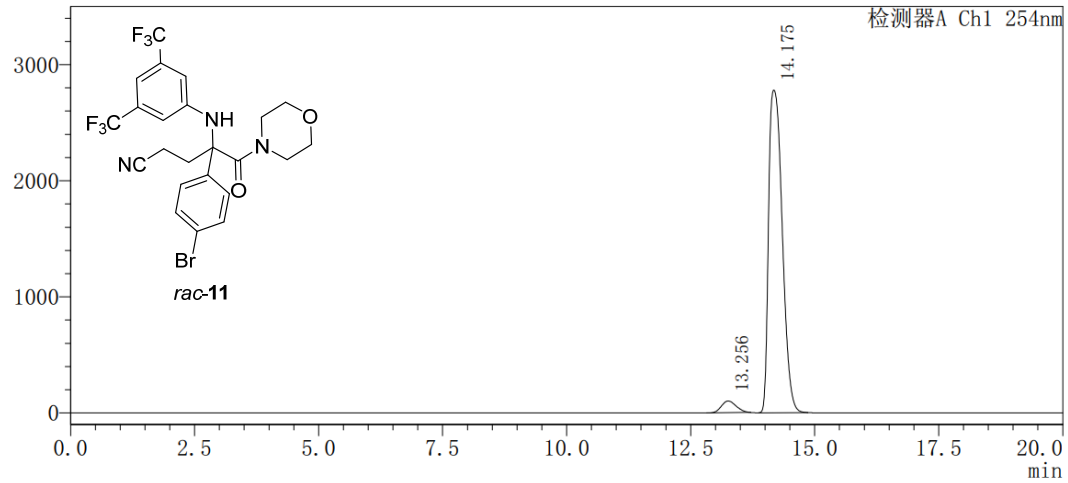


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.135	17102492	49.964
2	14.232	17127190	50.036

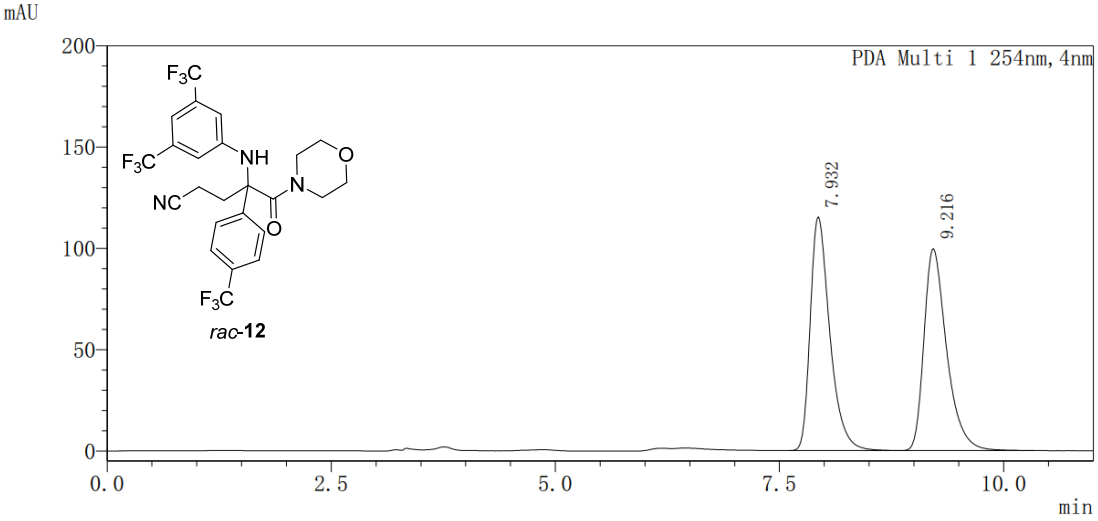
mV



Peak Table

检测器A Ch1 254nm

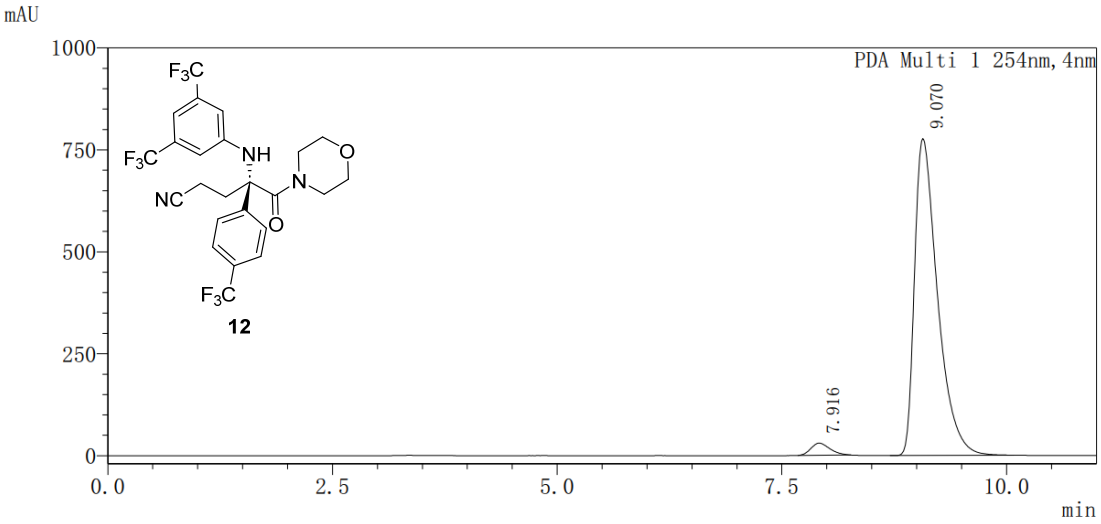
Peak#	Ret. Time	Area	Area%
1	13.256	2114641	3.825
2	14.175	53174477	96.175



Peak Table

PDA Ch1 254nm

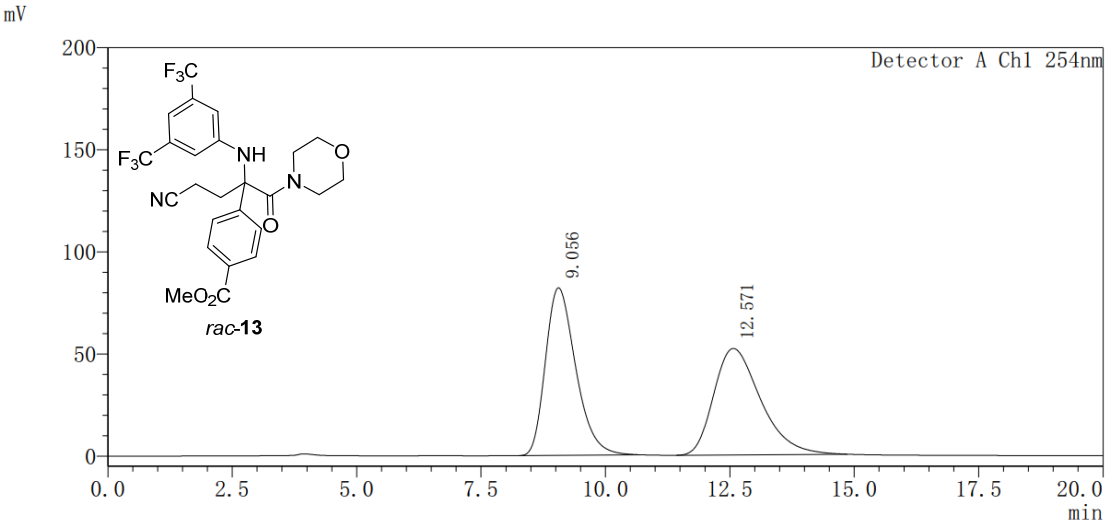
Peak#	Ret. Time	Area	Area%
1	7.932	1754018	50.006
2	9.216	1753572	49.994



Peak Table

PDA Ch1 254nm

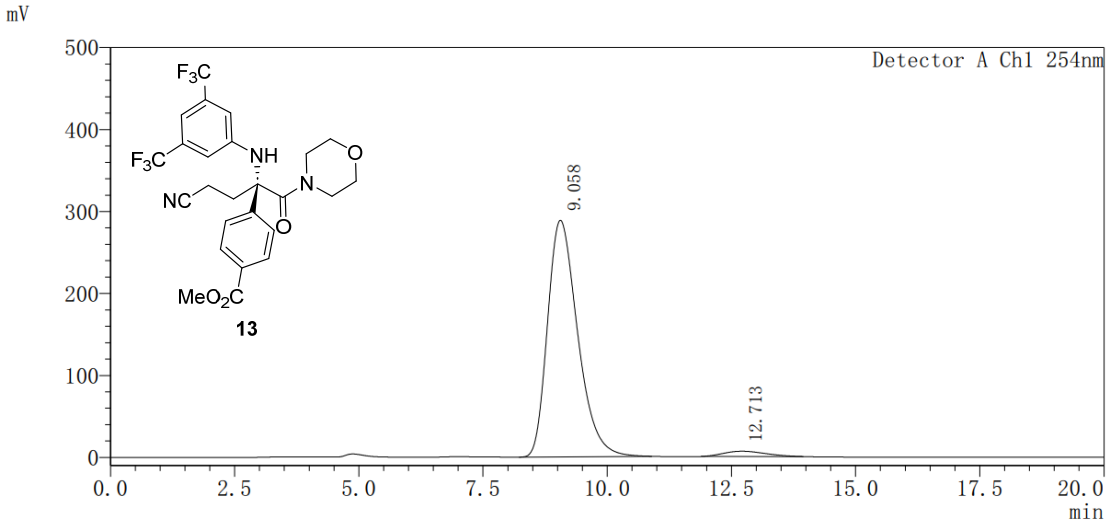
Peak#	Ret. Time	Area	Area%
1	7.916	433540	3.042
2	9.070	13817675	96.958



Peak Table

Detector A Ch1 254nm

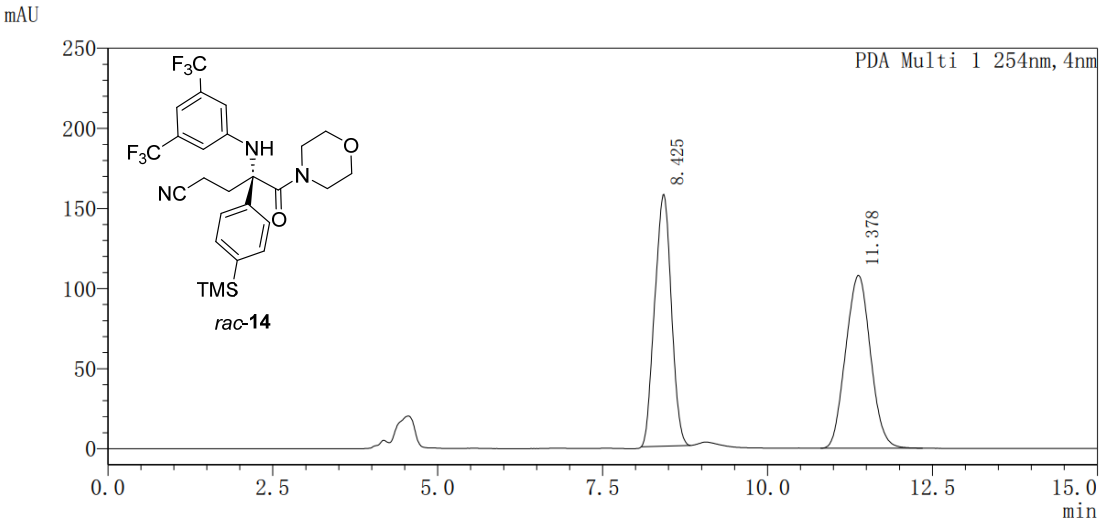
Peak#	Ret. Time	Area	Area%
1	9.056	3484983	50.453
2	12.571	3422464	49.547



Peak Table

Detector A Ch1 254nm

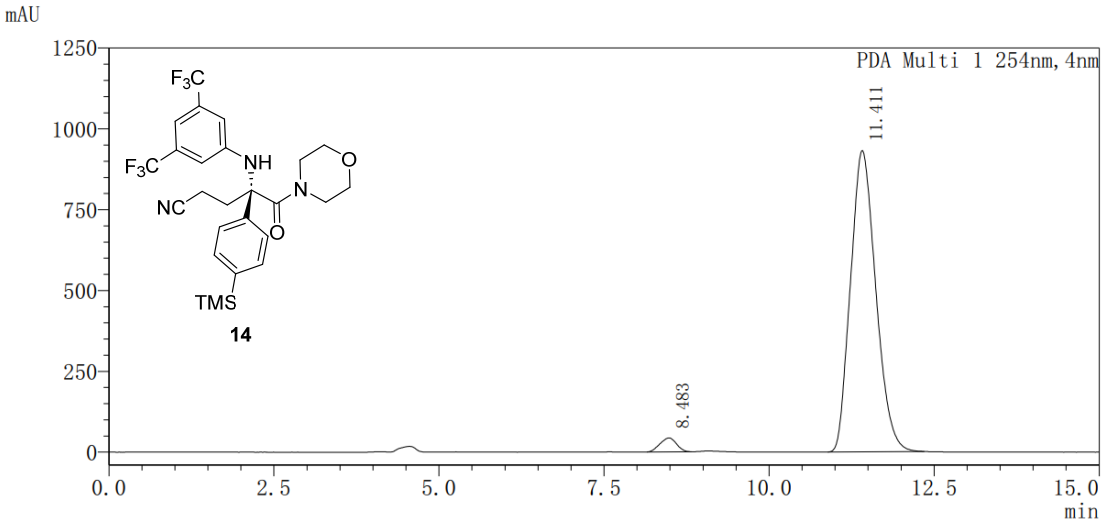
Peak#	Ret. Time	Area	Area%
1	9.058	12189506	96.956
2	12.713	382721	3.044



Peak Table

PDA Ch1 254nm

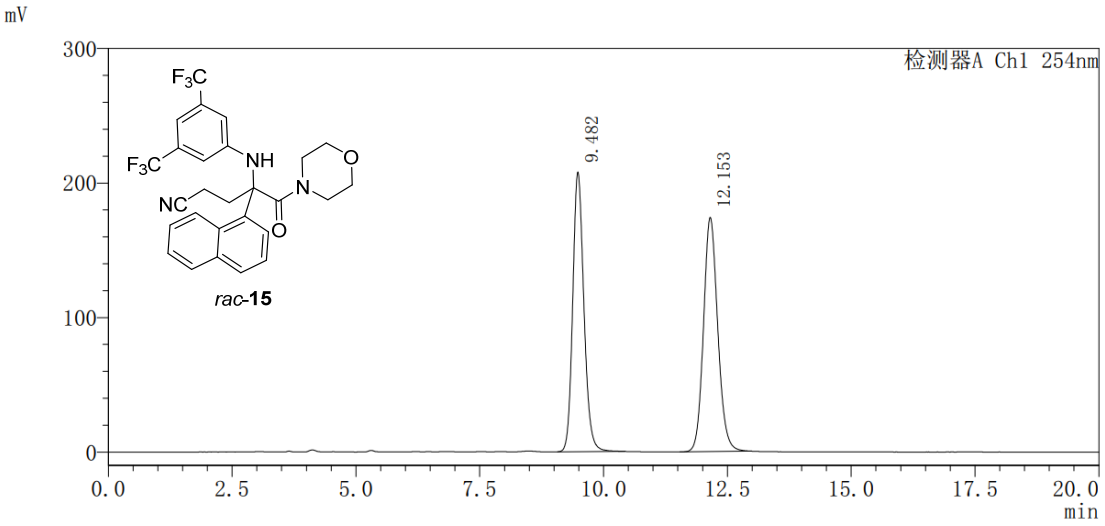
Peak#	Ret. Time	Area	Area%
1	8.425	2761906	49.393
2	11.378	2829792	50.607



Peak Table

PDA Ch1 254nm

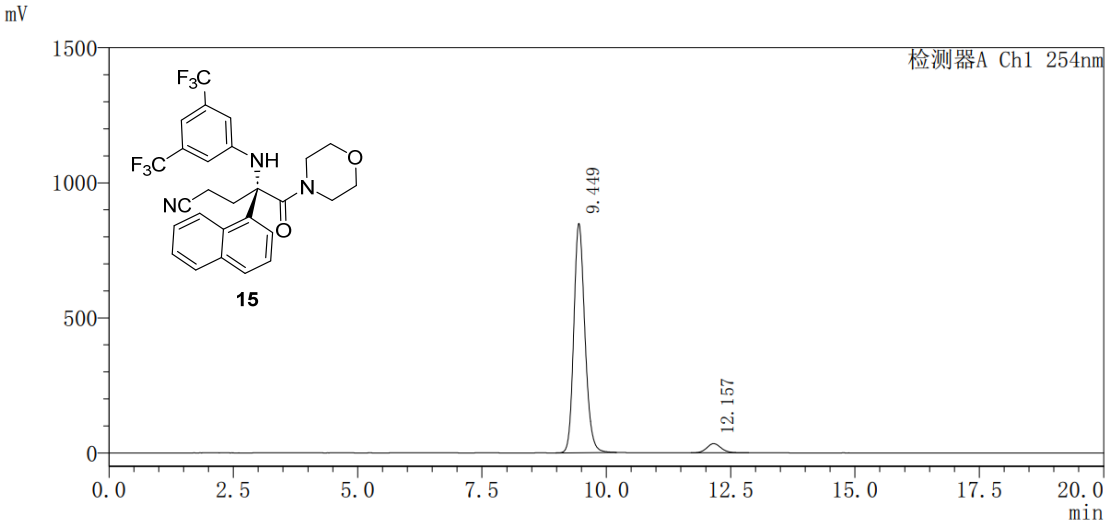
Peak#	Ret. Time	Area	Area%
1	8.483	752651	2.938
2	11.411	24867400	97.062



Peak Table

检测器A Ch1 254nm

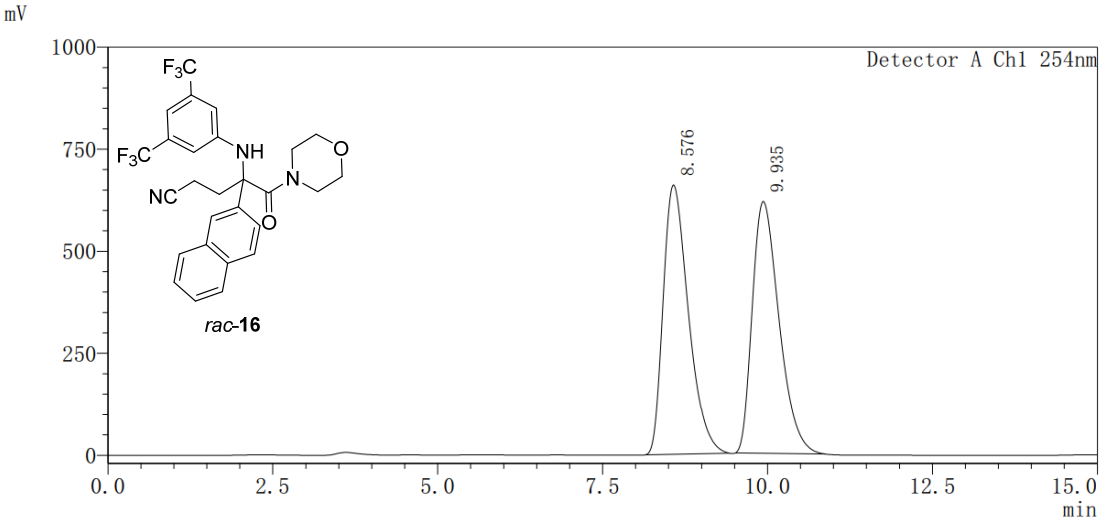
Peak#	Ret. Time	Area	Area%
1	9.482	3265788	48.410
2	12.153	3480311	51.590



Peak Table

检测器A Ch1 254nm

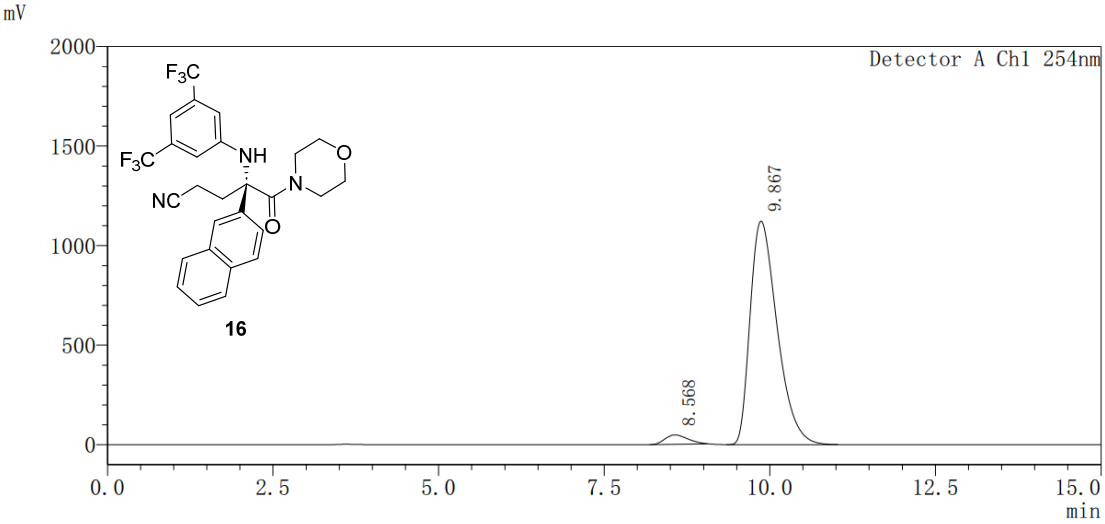
Peak#	Ret. Time	Area	Area%
1	9.449	13196381	95.068
2	12.157	684662	4.932



Peak Table

Detector A Ch1 254nm

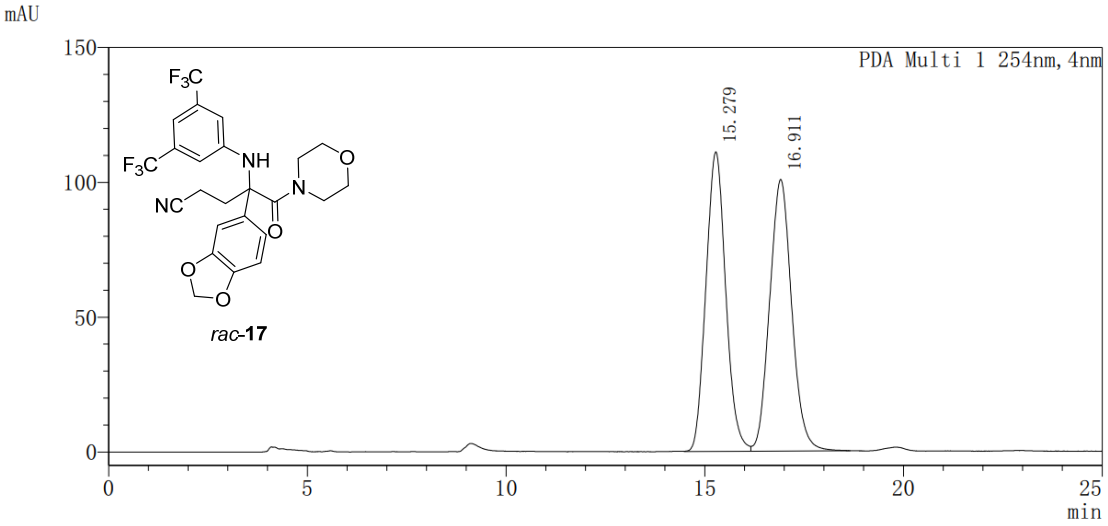
Peak#	Ret. Time	Area	Area%
1	8.576	17543989	50.110
2	9.935	17467167	49.890



Peak Table

Detector A Ch1 254nm

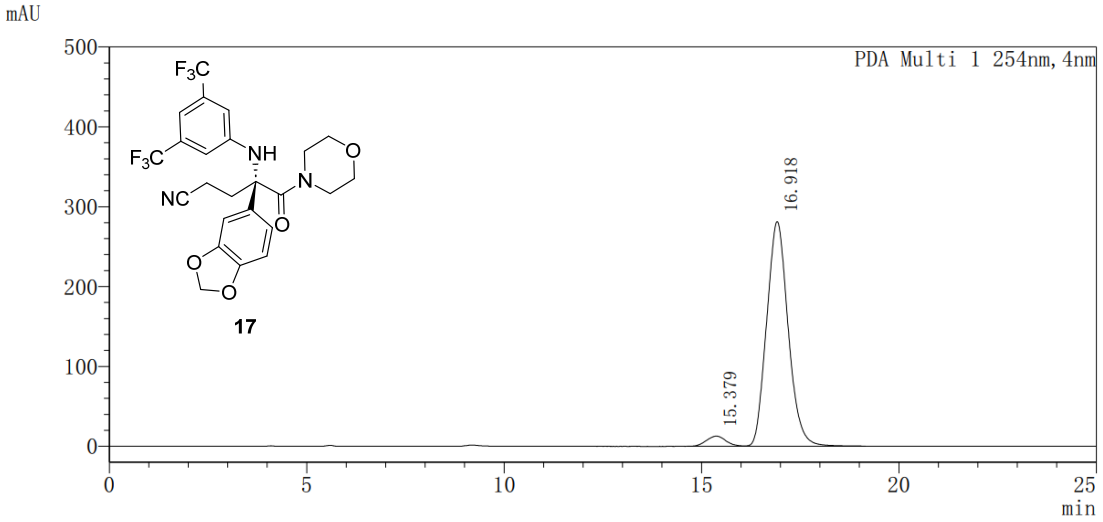
Peak#	Ret. Time	Area	Area%
1	8.568	1122493	3.396
2	9.867	31928556	96.604



Peak Table

PDA Ch1 254nm

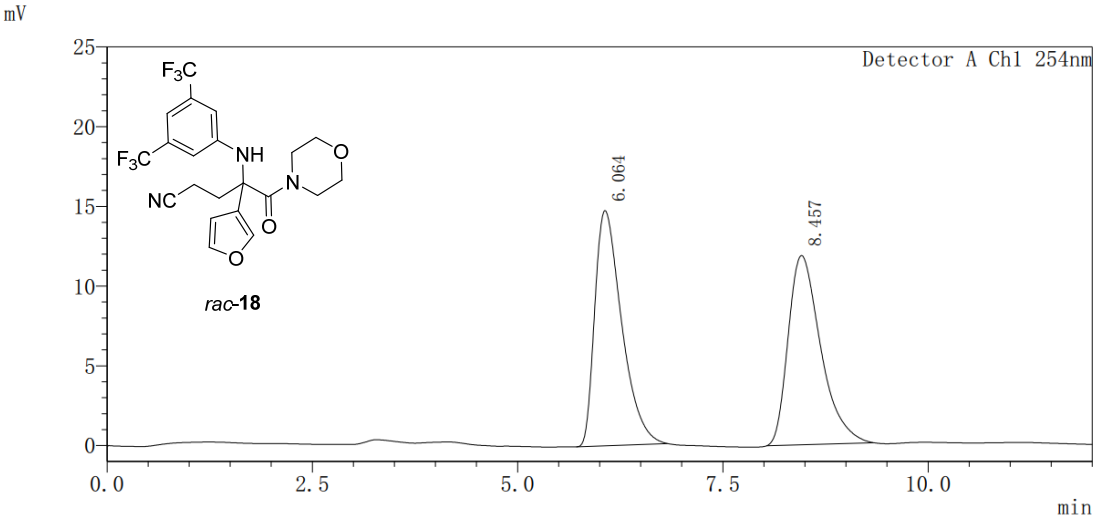
Peak#	Ret. Time	Area	Area%
1	15.279	3853295	49.716
2	16.911	3897329	50.284



Peak Table

PDA Ch1 254nm

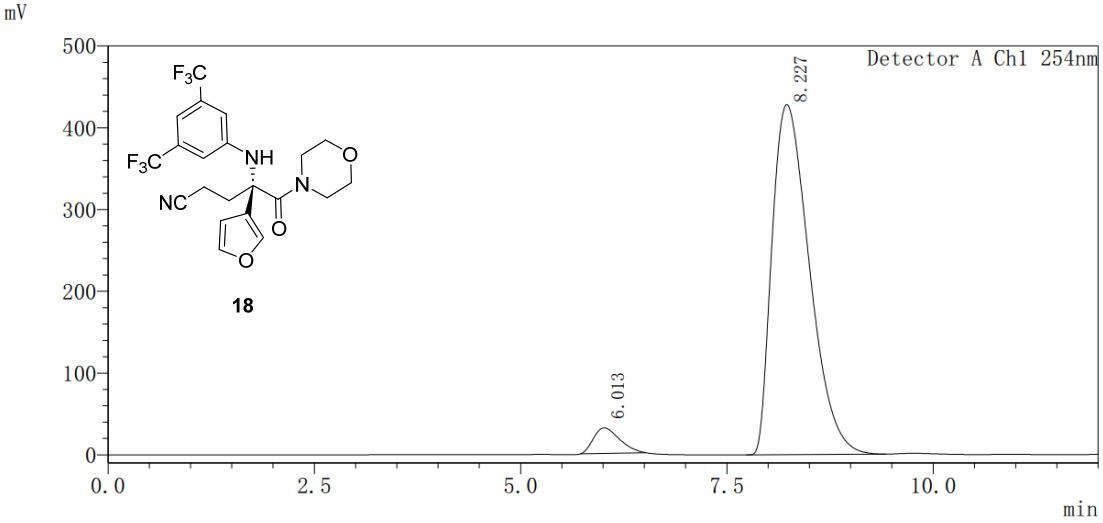
Peak#	Ret. Time	Area	Area%
1	15.379	442425	3.987
2	16.918	10655492	96.013



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.064	336058	50.741
2	8.457	326242	49.259

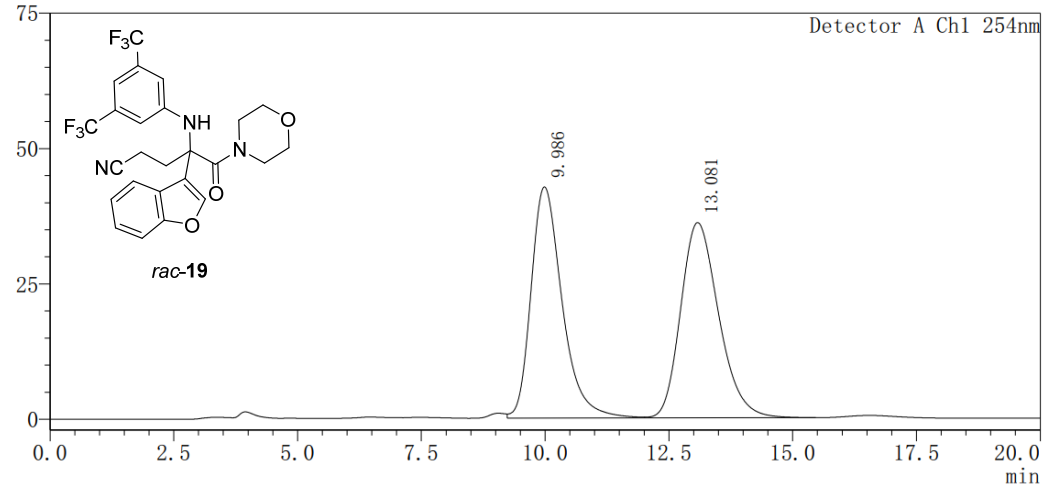


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.013	685585	4.844
2	8.227	13468322	95.156

mV

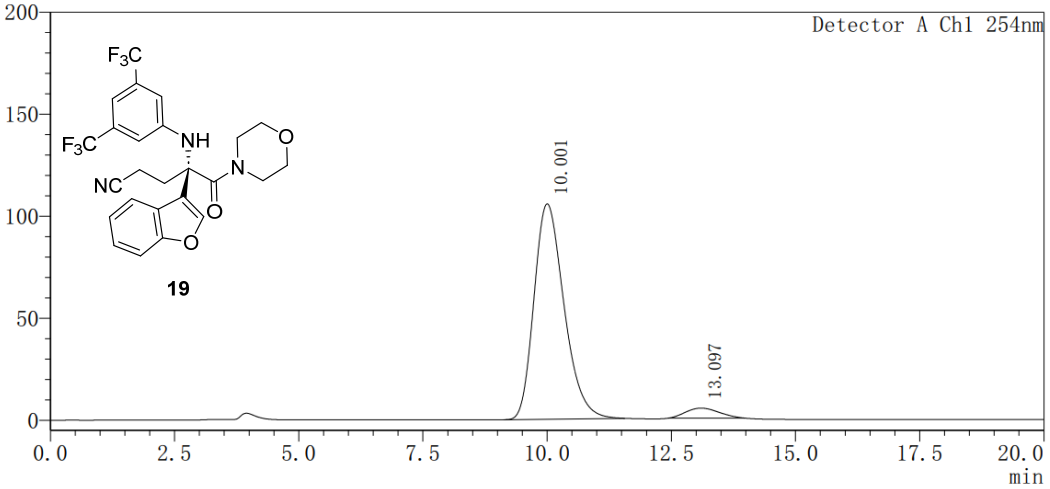


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.986	1864342	49.108
2	13.081	1932036	50.892

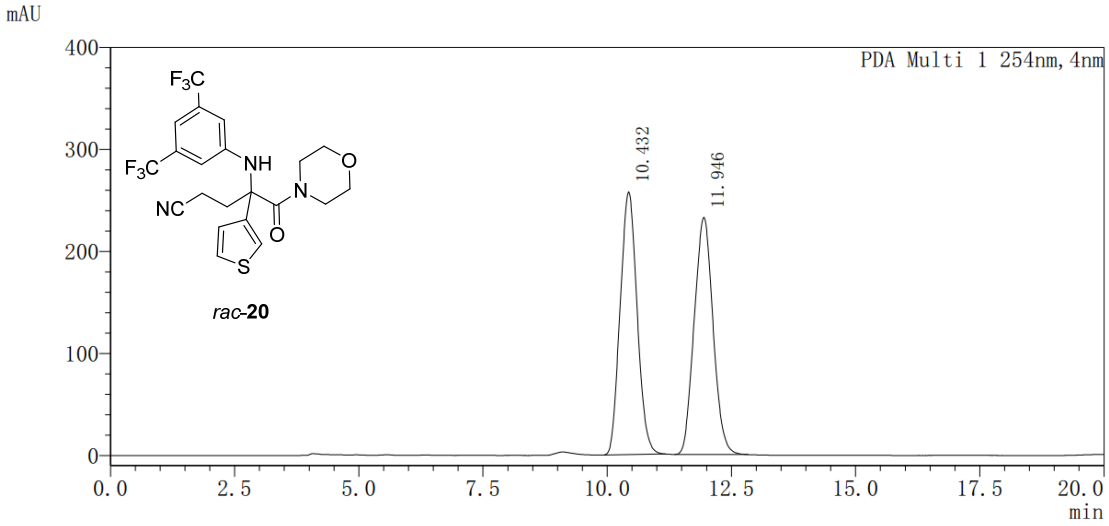
mV



Peak Table

Detector A Ch1 254nm

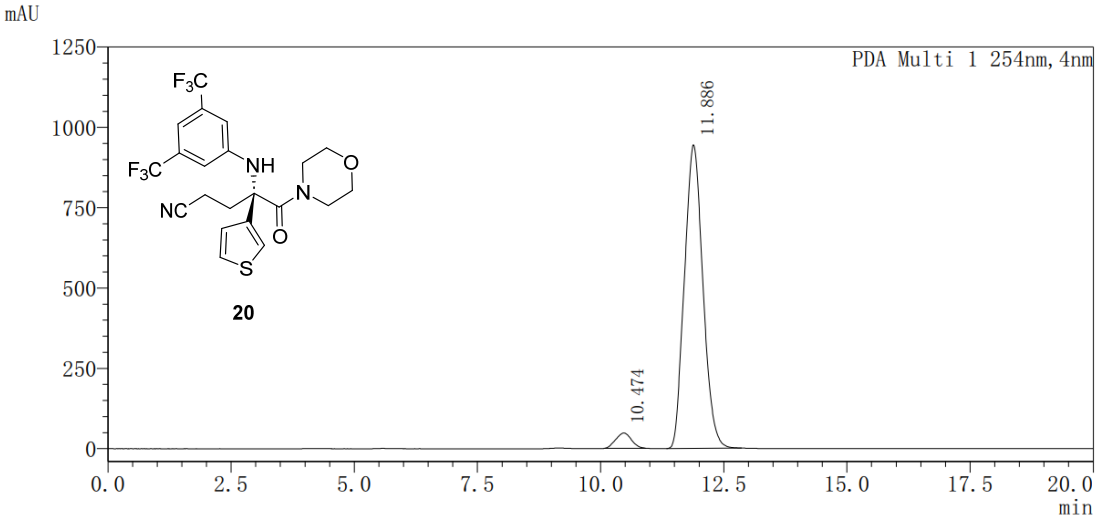
Peak#	Ret. Time	Area	Area%
1	10.001	4431458	95.071
2	13.097	229734	4.929



Peak Table

PDA Ch1 254nm

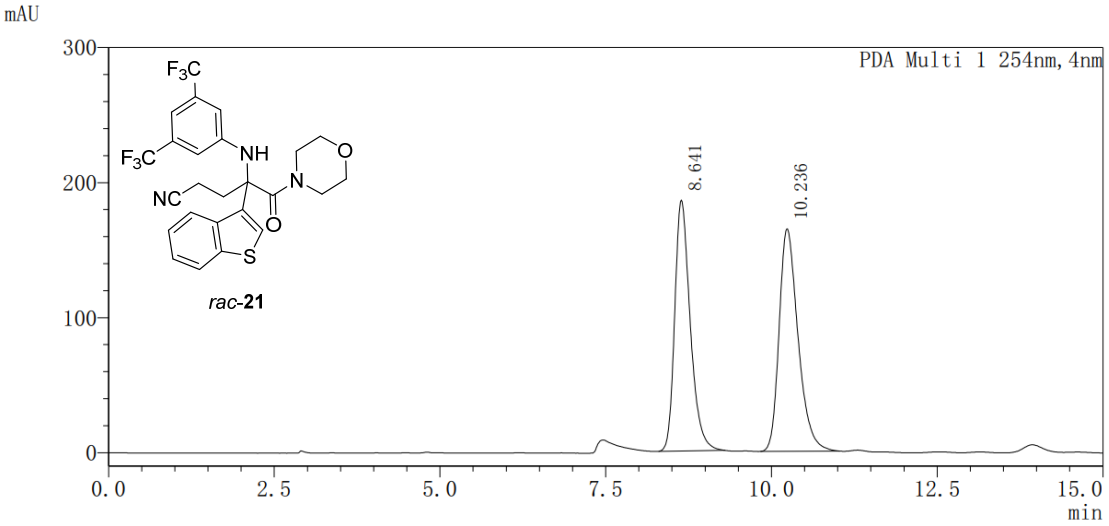
Peak#	Ret. Time	Area	Area%
1	10.432	6115671	49.899
2	11.946	6140427	50.101



Peak Table

PDA Ch1 254nm

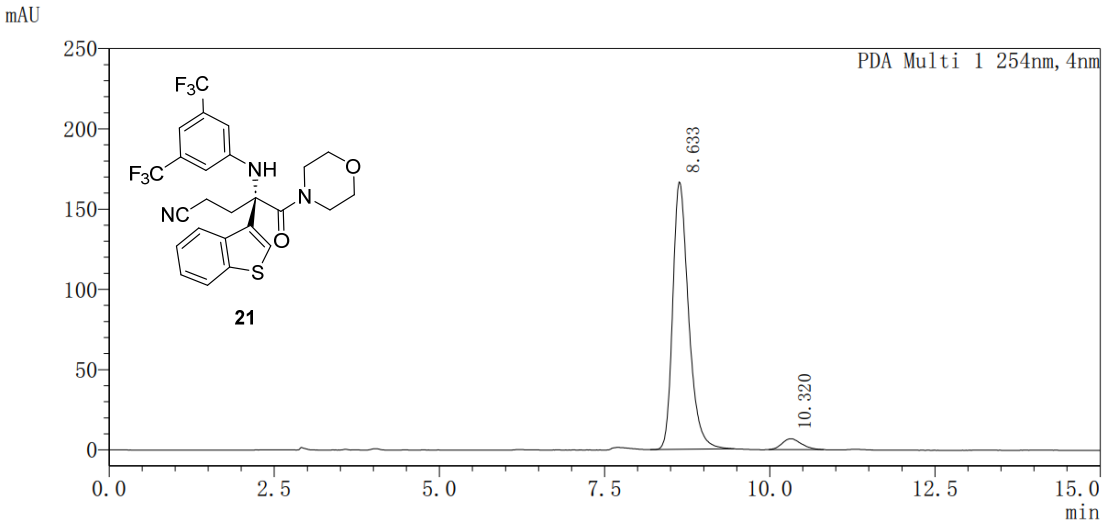
Peak#	Ret. Time	Area	Area%
1	10.474	1053707	4.095
2	11.886	24676123	95.905



Peak Table

PDA Ch1 254nm

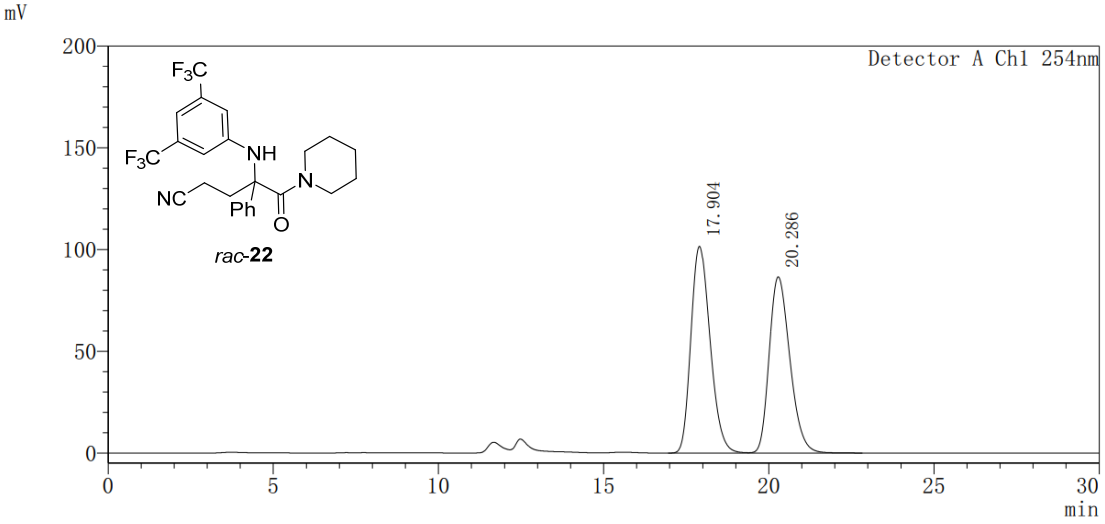
Peak#	Ret. Time	Area	Area%
1	8.641	3018544	47.989
2	10.236	3271471	52.011



Peak Table

PDA Ch1 254nm

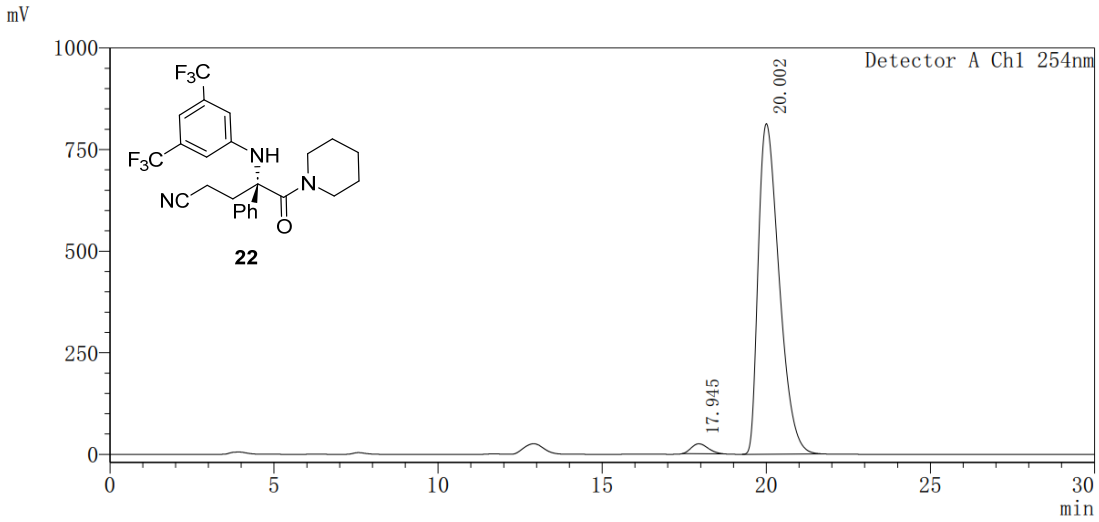
Peak#	Ret. Time	Area	Area%
1	8.633	2781812	95.269
2	10.320	138148	4.731



Peak Table

Detector A Ch1 254nm

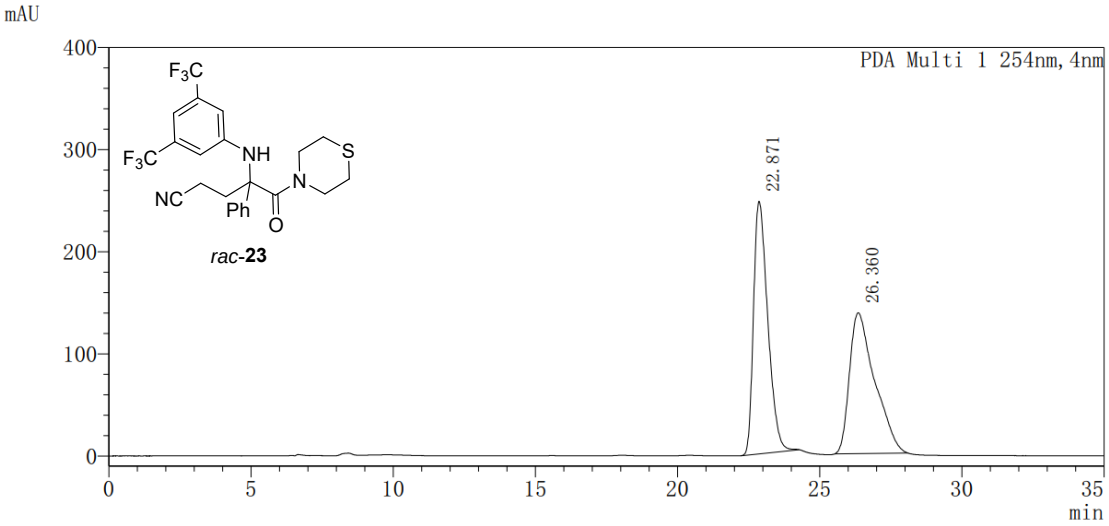
Peak#	Ret. Time	Area	Area%
1	17.904	4090846	51.972
2	20.286	3780432	48.028



Peak Table

Detector A Ch1 254nm

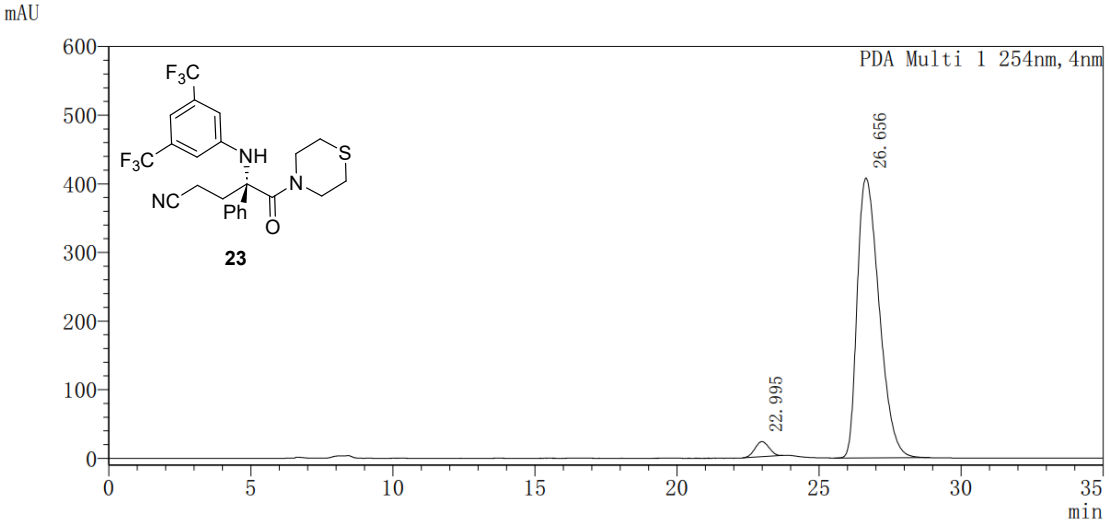
Peak#	Ret. Time	Area	Area%
1	17.945	872739	2.363
2	20.002	36059658	97.637



Peak Table

PDA Ch1 254nm

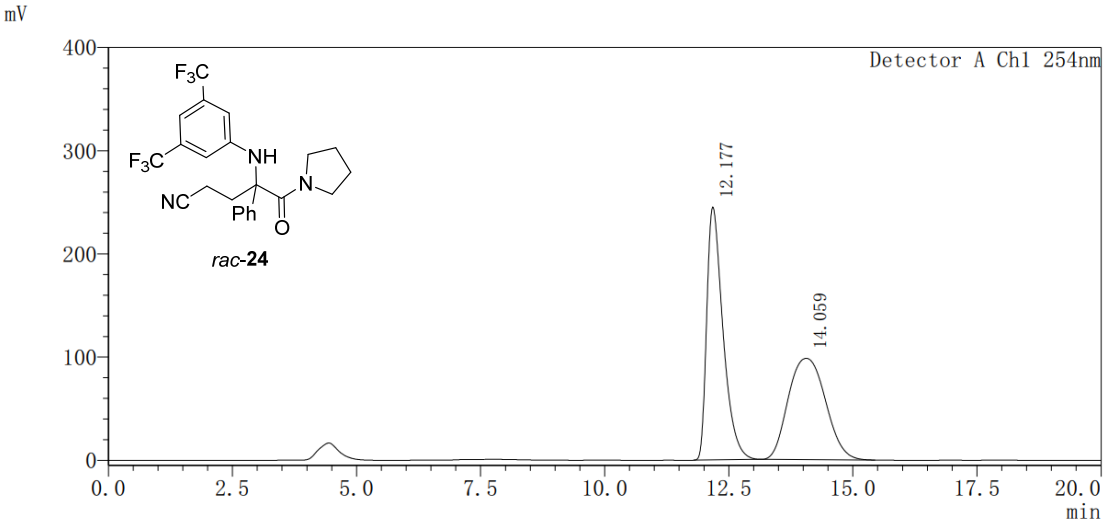
Peak#	Ret. Time	Area	Area%
1	22.871	8608337	50.276
2	26.360	8513936	49.724



Peak Table

PDA Ch1 254nm

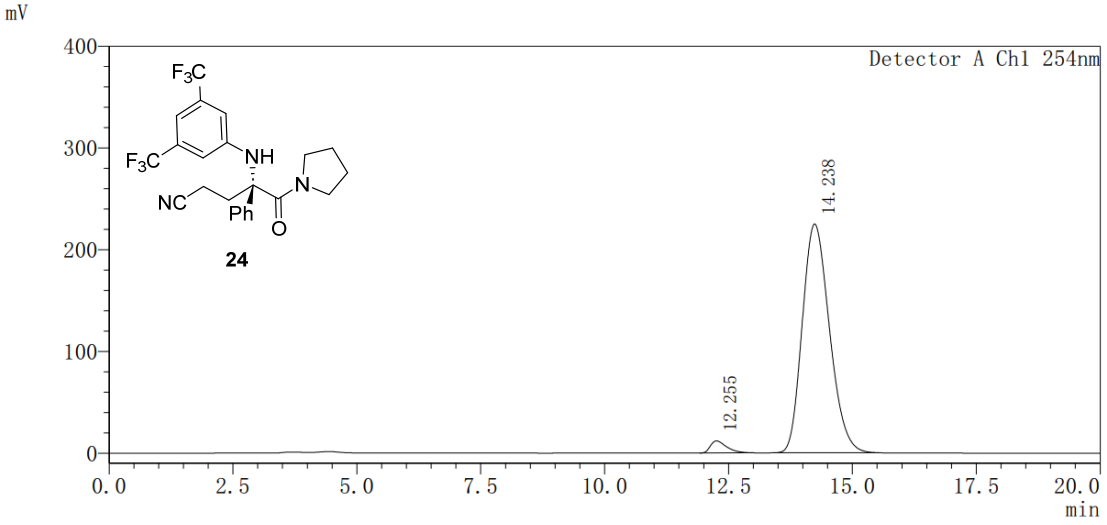
Peak#	Ret. Time	Area	Area%
1	22.995	769211	3.394
2	26.656	21892643	96.606



Peak Table

Detector A Ch1 254nm

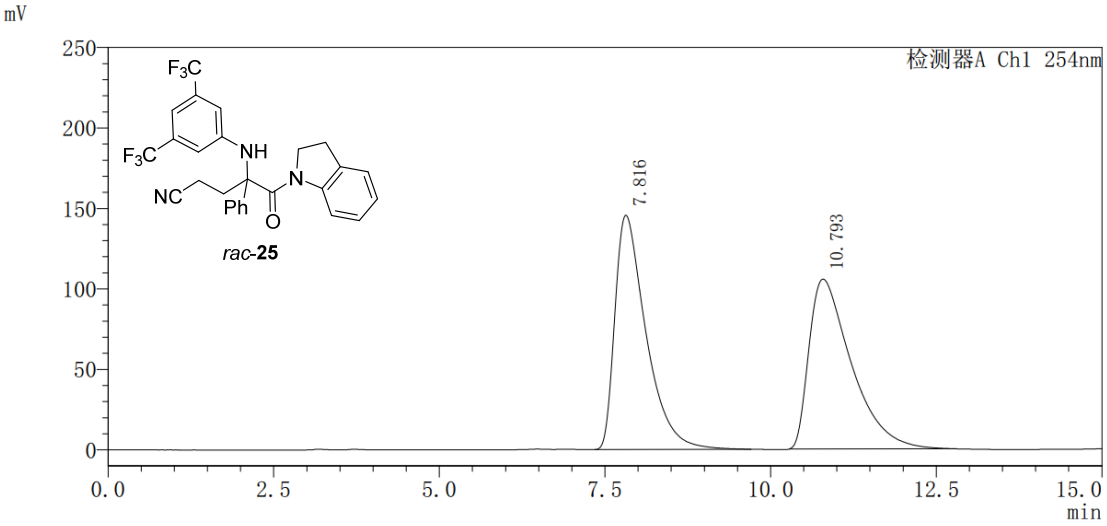
Peak#	Ret. Time	Area	Area%
1	12.177	5583322	52.106
2	14.059	5132087	47.894



Peak Table

Detector A Ch1 254nm

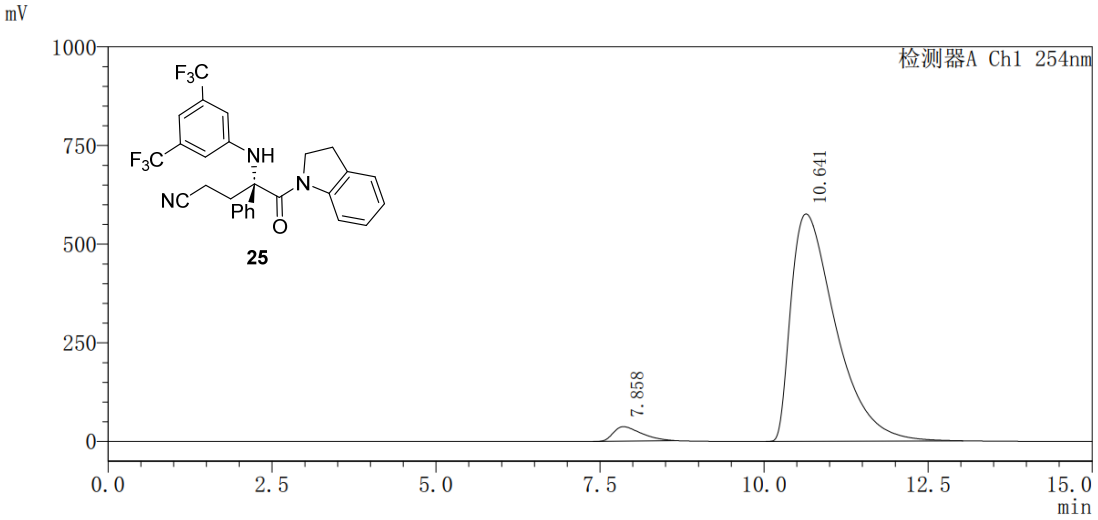
Peak#	Ret. Time	Area	Area%
1	12.255	269509	3.045
2	14.238	8580303	96.955



Peak Table

检测器A Ch1 254nm

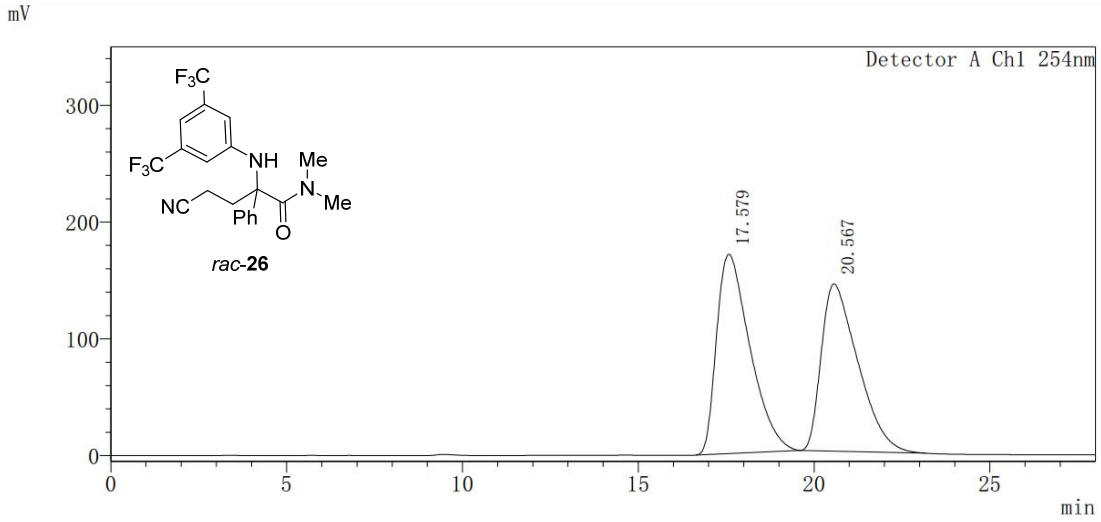
Peak#	Ret. Time	Area	Area%
1	7.816	4767140	50.364
2	10.793	4698188	49.636



Peak Table

检测器A Ch1 254nm

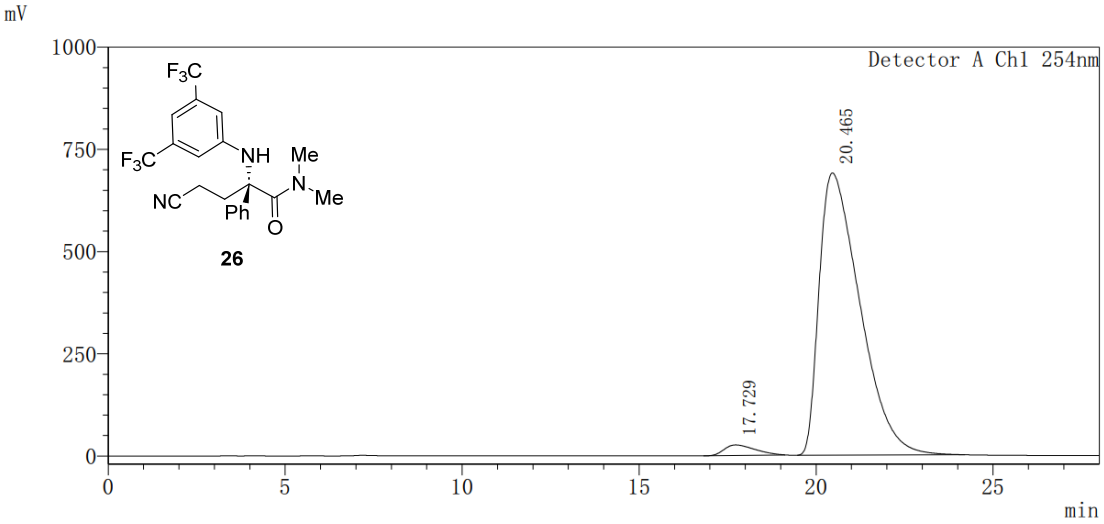
Peak#	Ret. Time	Area	Area%
1	7.858	1095272	3.845
2	10.641	27390690	96.155



Peak Table

Detector A Ch1 254nm

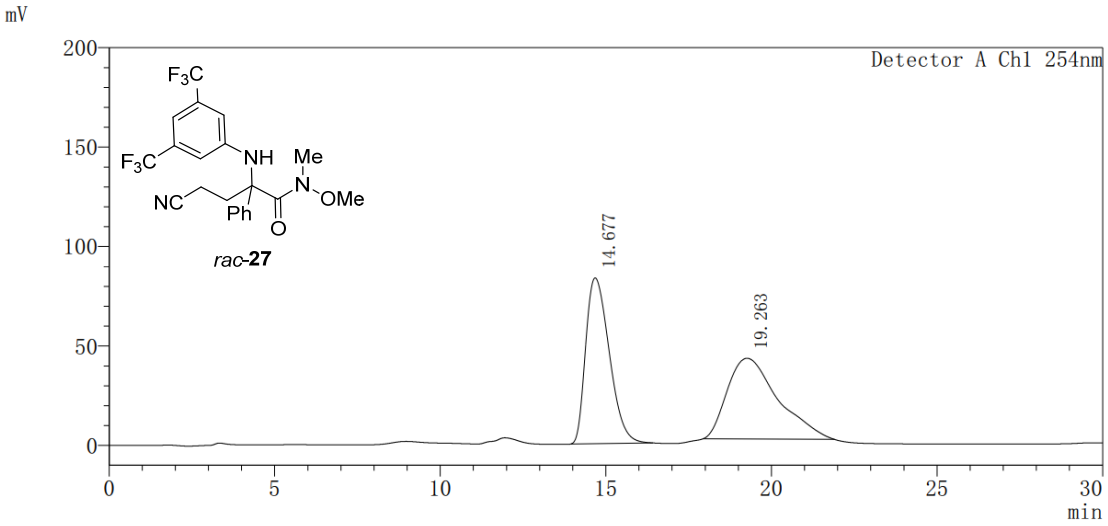
Peak#	Ret. Time	Area	Area%
1	17.579	11266466	52.036
2	20.567	10384658	47.964



Peak Table

Detector A Ch1 254nm

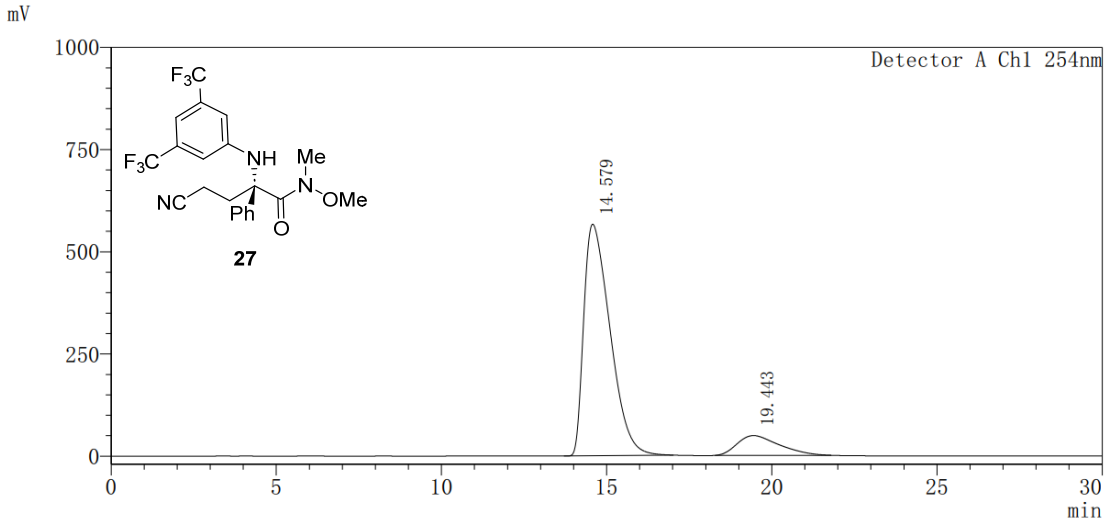
Peak#	Ret. Time	Area	Area%
1	17.729	1558477	2.739
2	20.465	55332324	97.261



Peak Table

Detector A Ch1 254nm

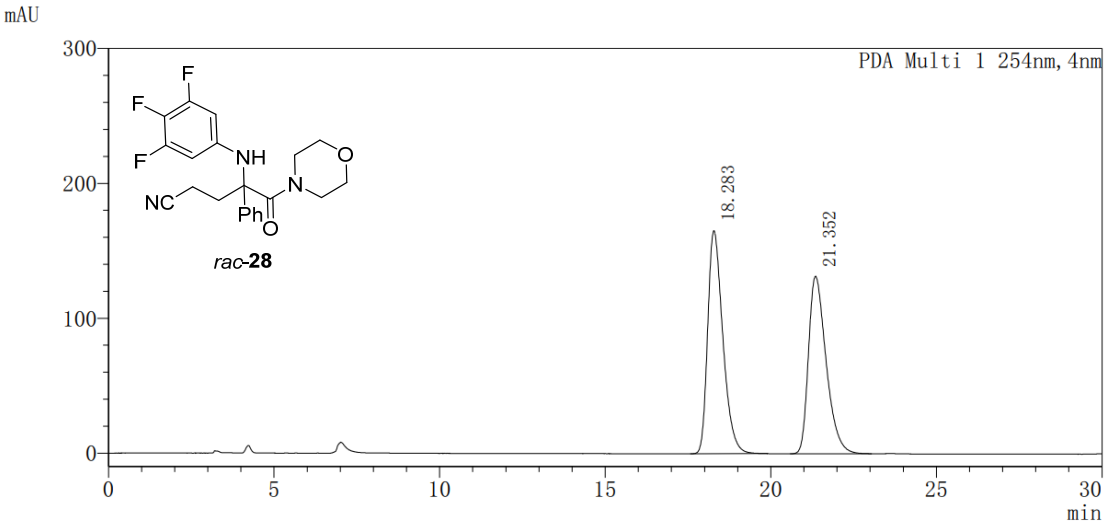
Peak#	Ret. Time	Area	Area%
1	14.677	4137418	48.958
2	19.263	4313519	51.042



Peak Table

Detector A Ch1 254nm

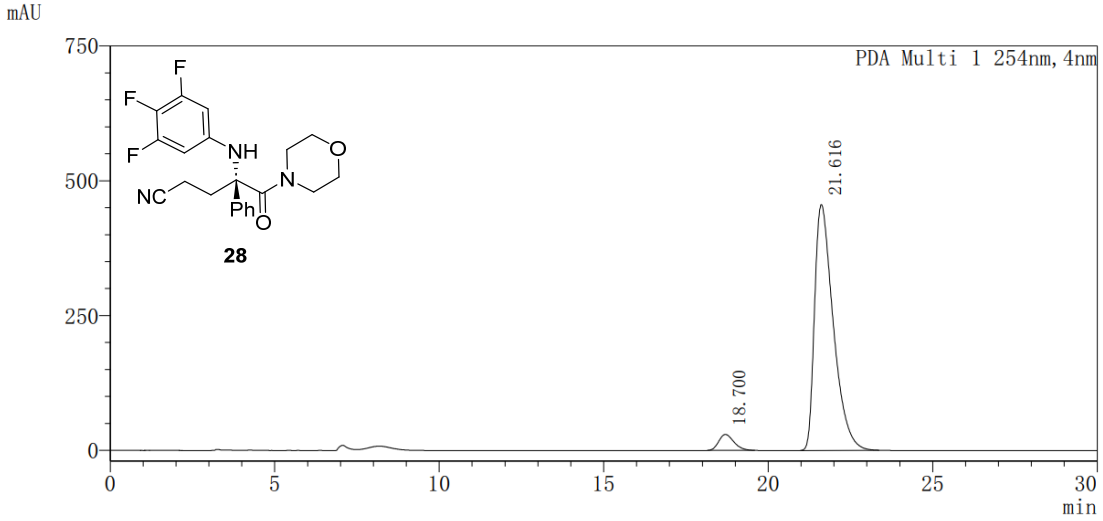
Peak#	Ret. Time	Area	Area%
1	14.579	31427016	88.005
2	19.443	4283315	11.995



Peak Table

PDA Ch1 254nm

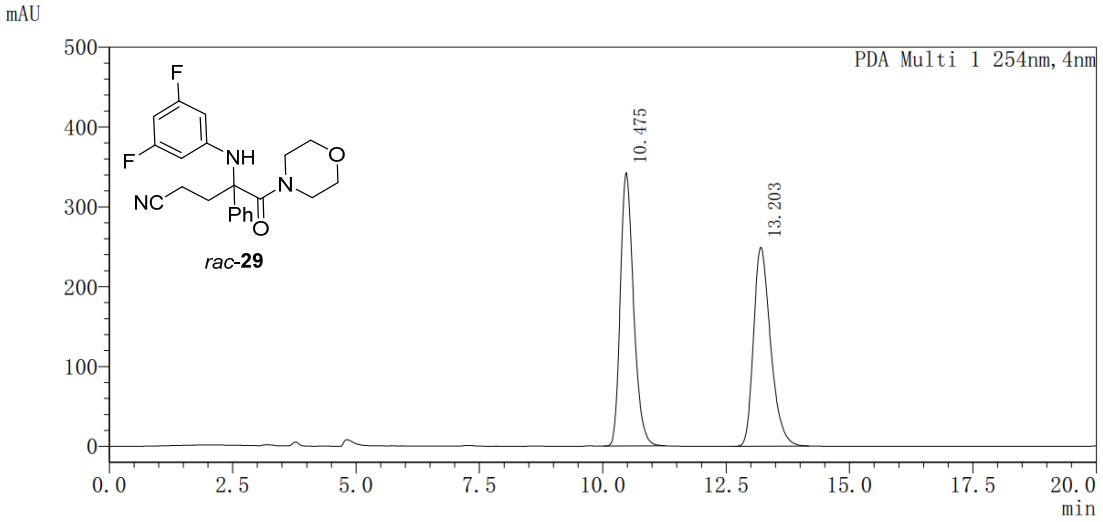
Peak#	Ret. Time	Area	Area%
1	18.283	5262585	51.859
2	21.352	4885383	48.141



Peak Table

PDA Ch1 254nm

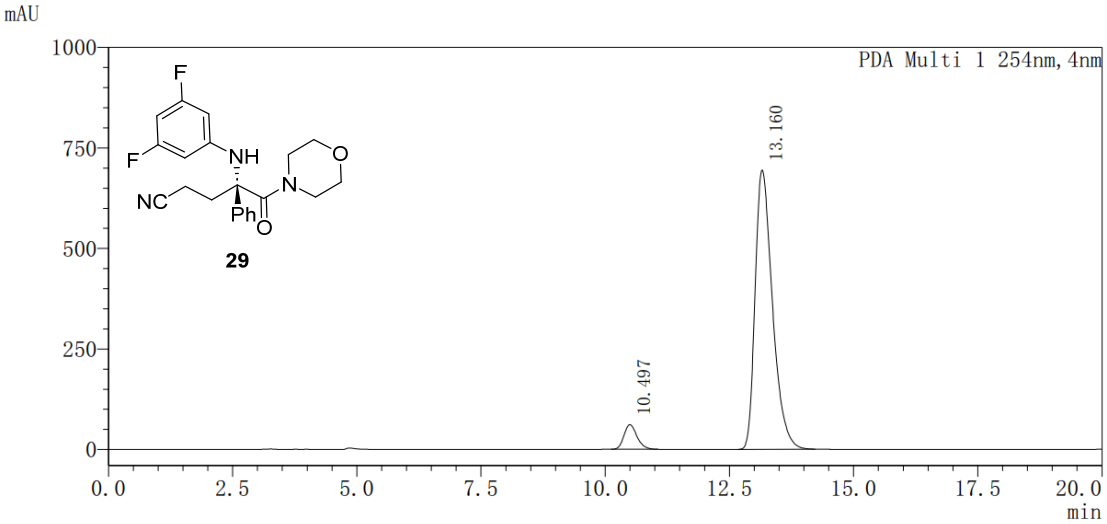
Peak#	Ret. Time	Area	Area%
1	18.700	948361	4.993
2	21.616	18045279	95.007



Peak Table

PDA Ch1 254nm

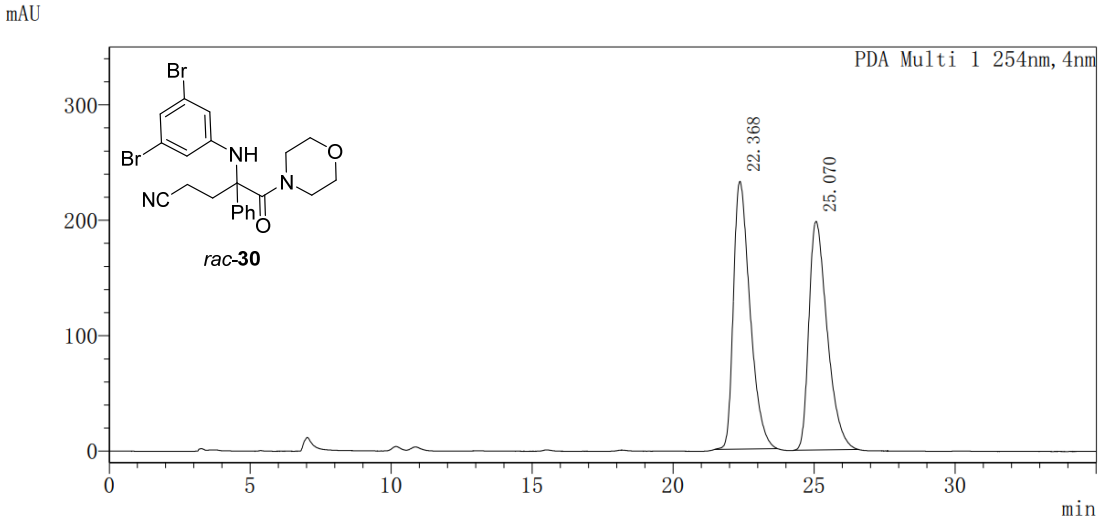
Peak#	Ret. Time	Area	Area%
1	10.475	6385075	51.575
2	13.203	5995157	48.425



Peak Table

PDA Ch1 254nm

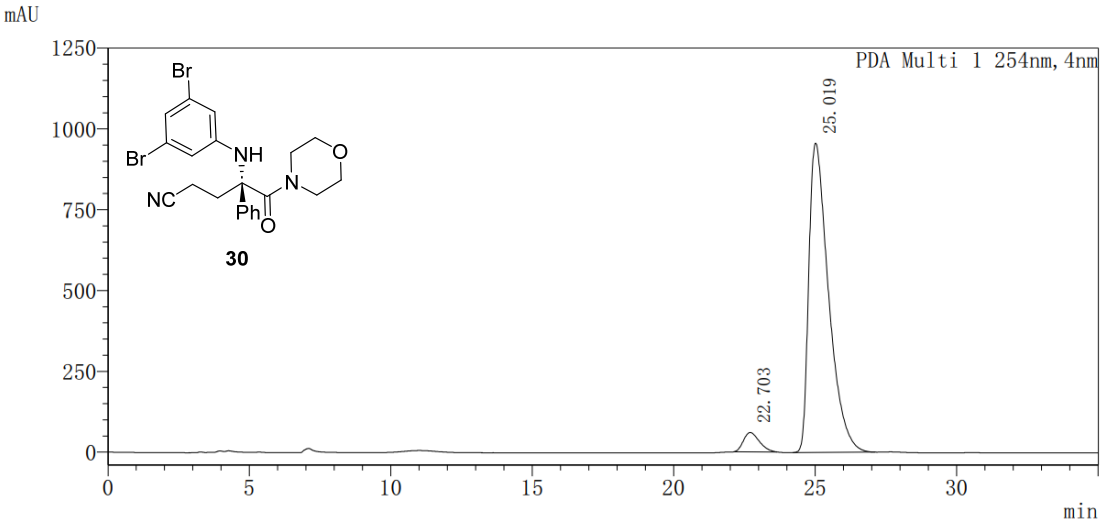
Peak#	Ret. Time	Area	Area%
1	10.497	1114788	6.195
2	13.160	16880224	93.805



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	22.368	9523382	51.540
2	25.070	8954392	48.460

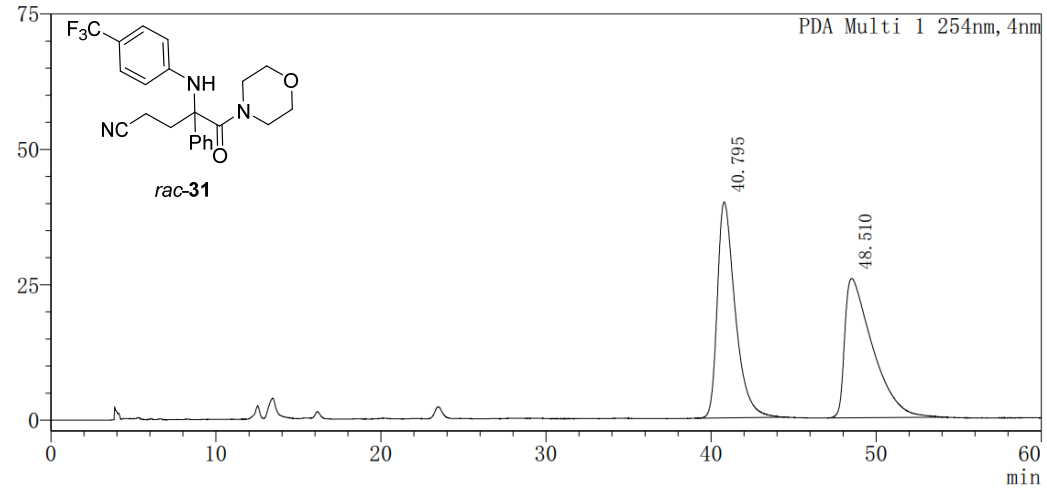


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	22.703	2348286	4.806
2	25.019	46514953	95.194

mAU

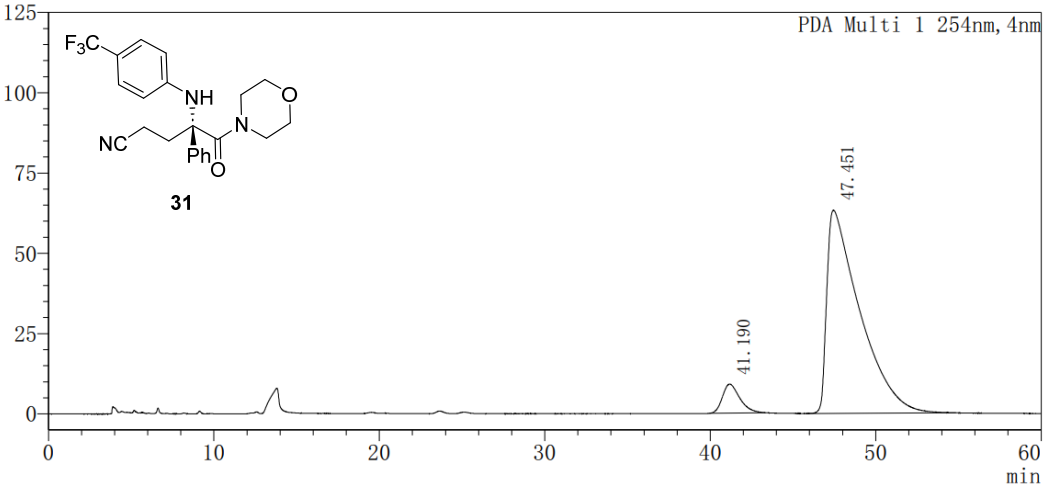


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	40.795	3004204	49.854
2	48.510	3021806	50.146

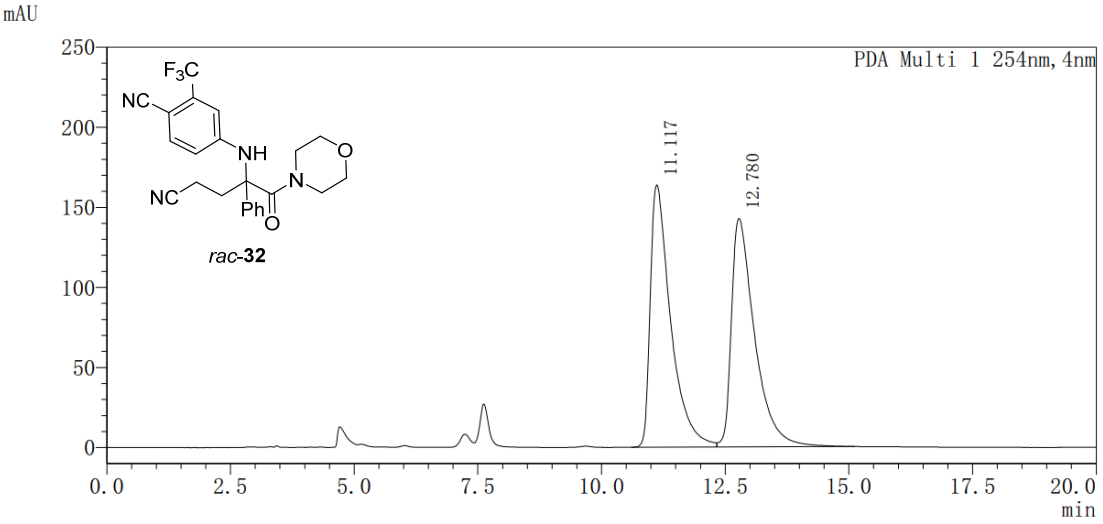
mAU



Peak Table

PDA Ch1 254nm

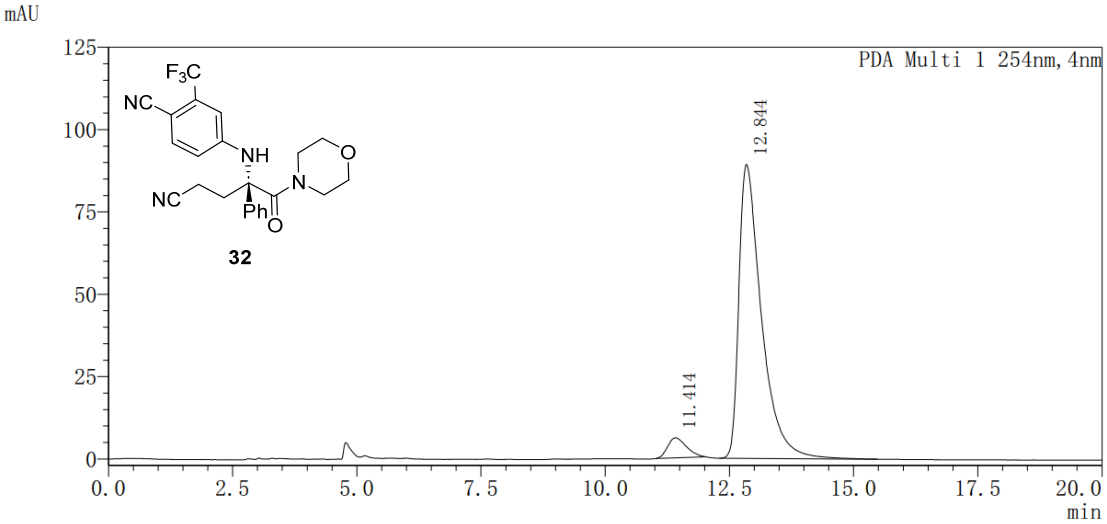
Peak#	Ret. Time	Area	Area%
1	41.190	661249	7.004
2	47.451	8780325	92.996



Peak Table

PDA Ch1 254nm

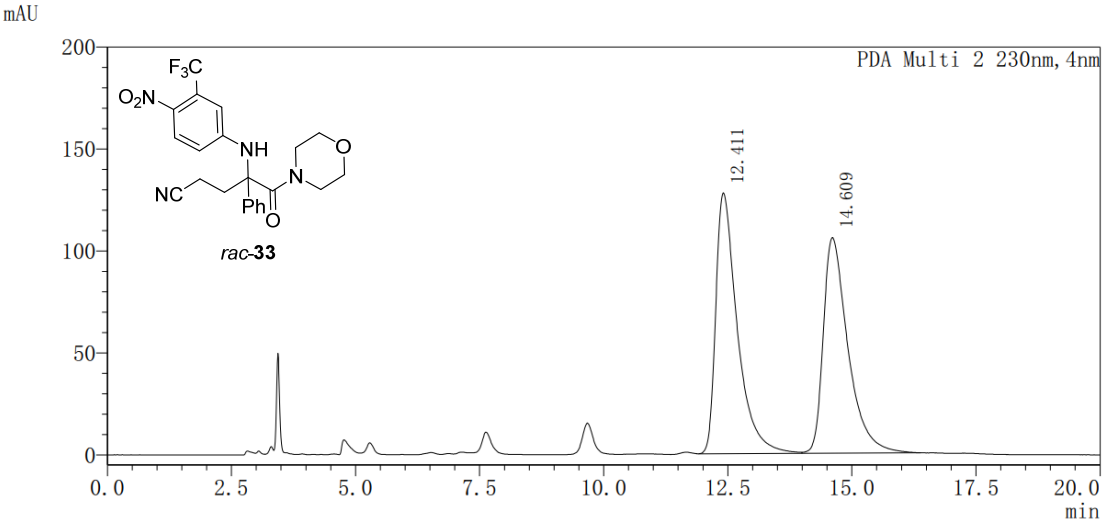
Peak#	Ret. Time	Area	Area%
1	11.117	4706698	50.202
2	12.780	4668814	49.798



Peak Table

PDA Ch1 254nm

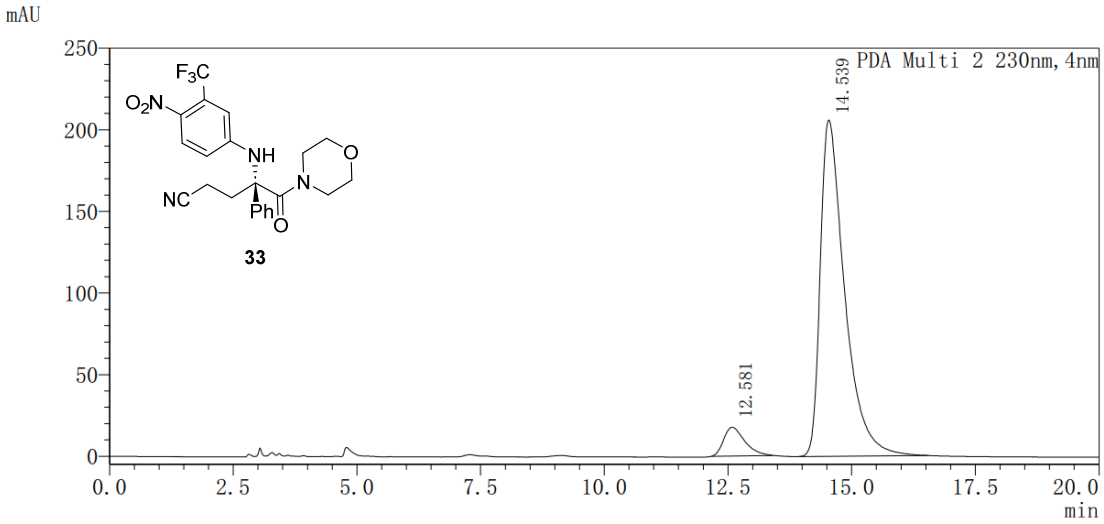
Peak#	Ret. Time	Area	Area%
1	11.414	161456	5.409
2	12.844	2823285	94.591



Peak Table

PDA Ch2 230nm

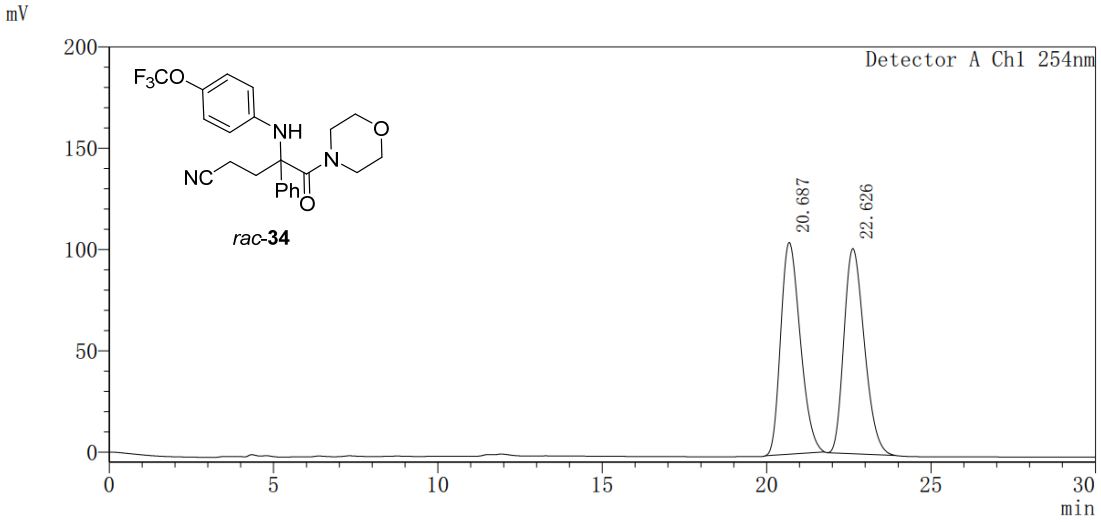
Peak#	Ret. Time	Area	Area%
1	12.411	3867179	51.360
2	14.609	3662430	48.640



Peak Table

PDA Ch2 230nm

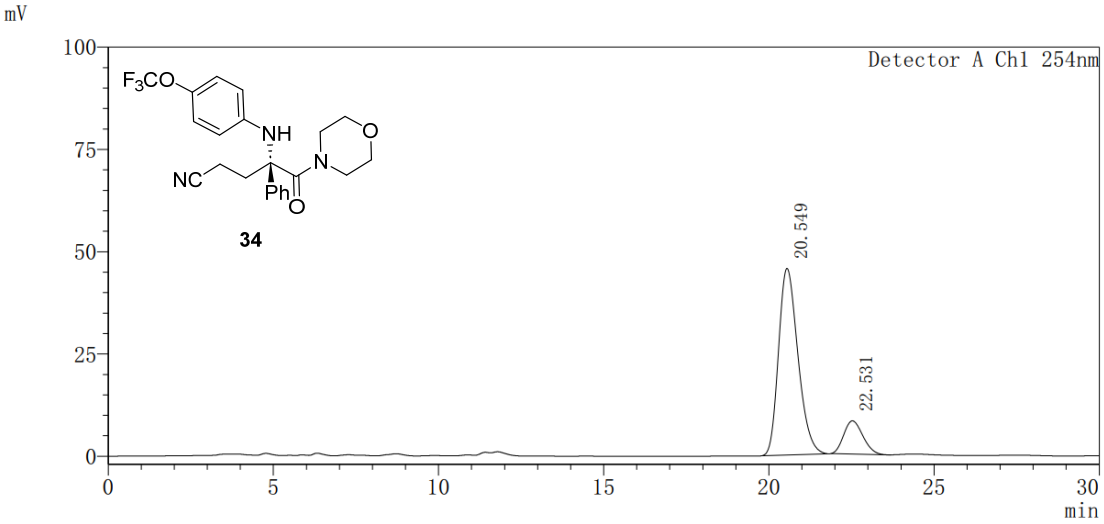
Peak#	Ret. Time	Area	Area%
1	12.581	517093	6.821
2	14.539	7063826	93.179



Peak Table

Detector A Ch1 254nm

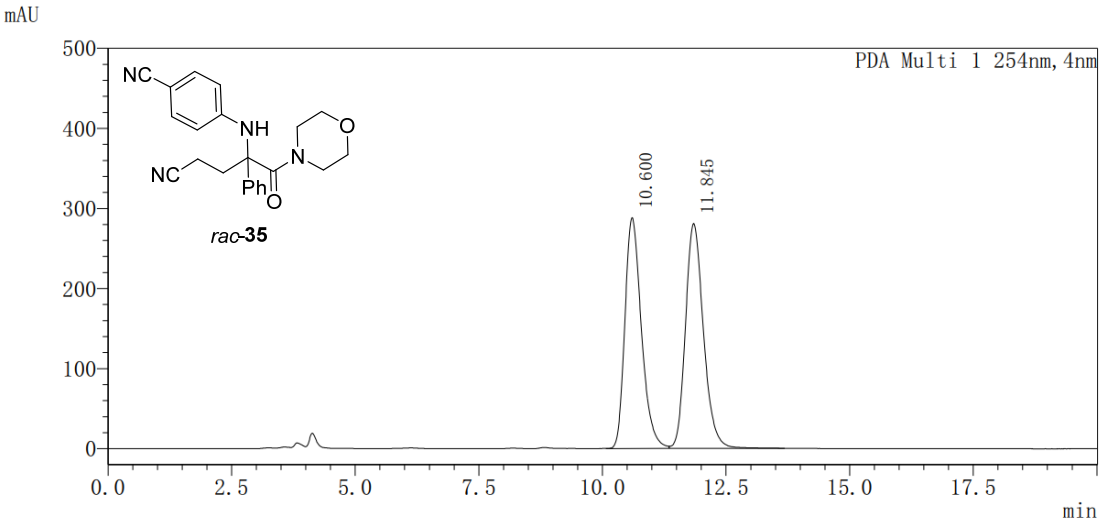
Peak#	Ret. Time	Area	Area%
1	20.687	4335680	49.721
2	22.626	4384361	50.279



Peak Table

Detector A Ch1 254nm

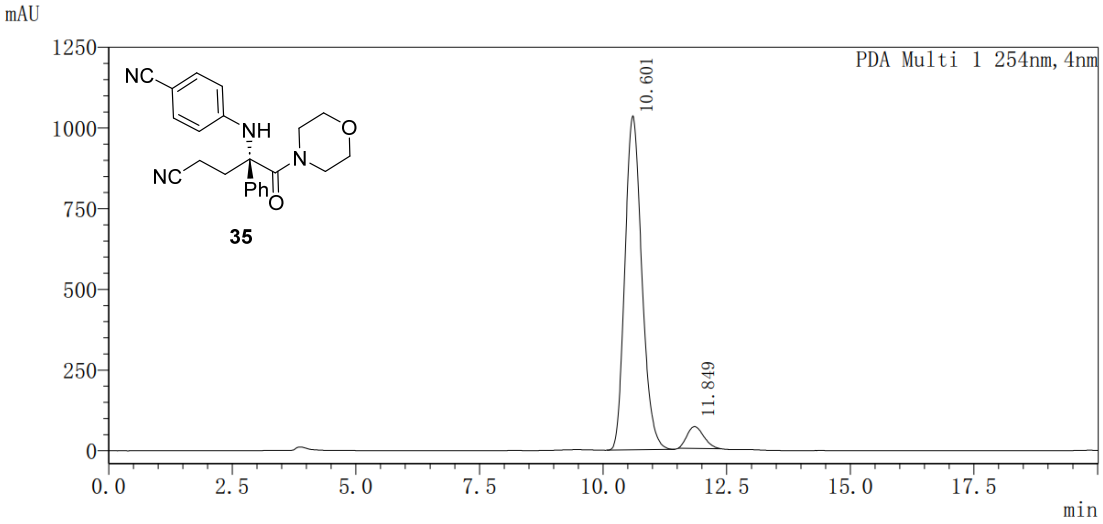
Peak#	Ret. Time	Area	Area%
1	20.549	1859705	85.065
2	22.531	326515	14.935



Peak Table

PDA Ch1 254nm

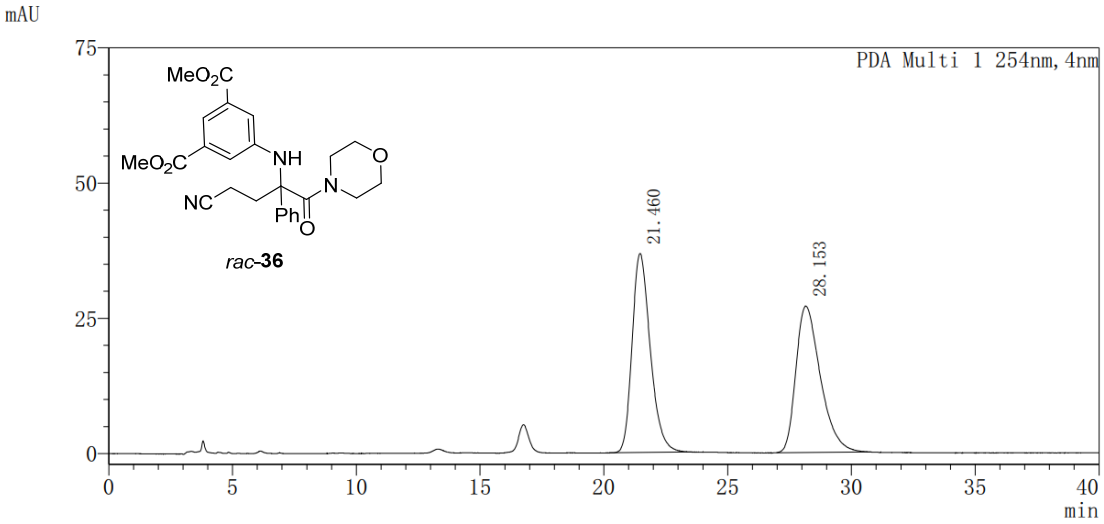
Peak#	Ret. Time	Area	Area%
1	10.600	6694143	48.499
2	11.845	7108484	51.501



Peak Table

PDA Ch1 254nm

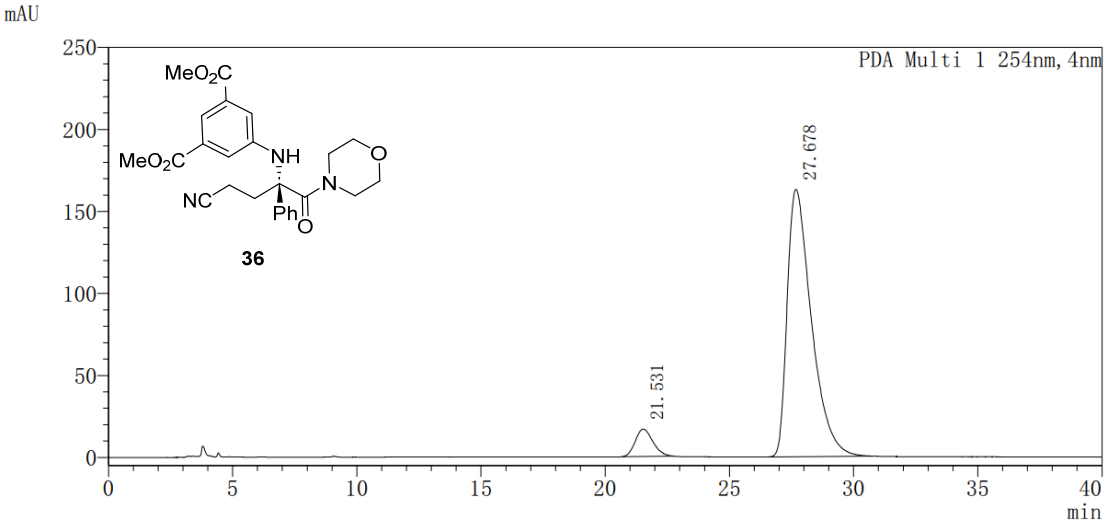
Peak#	Ret. Time	Area	Area%
1	10.601	24601977	93.971
2	11.849	1578277	6.029



Peak Table

PDA Ch1 254nm

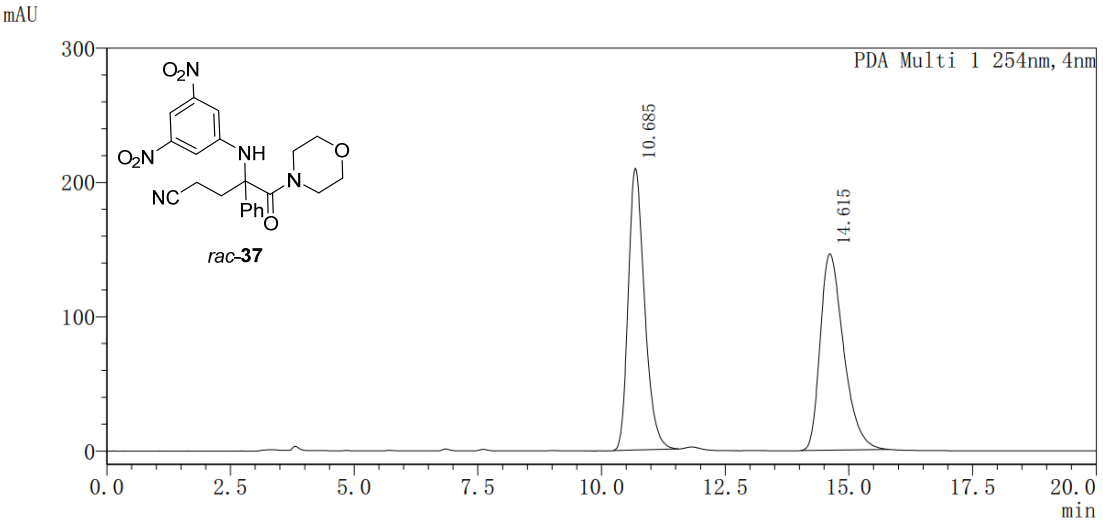
Peak#	Ret. Time	Area	Area%
1	21.460	1879178	50.210
2	28.153	1863471	49.790



Peak Table

PDA Ch1 254nm

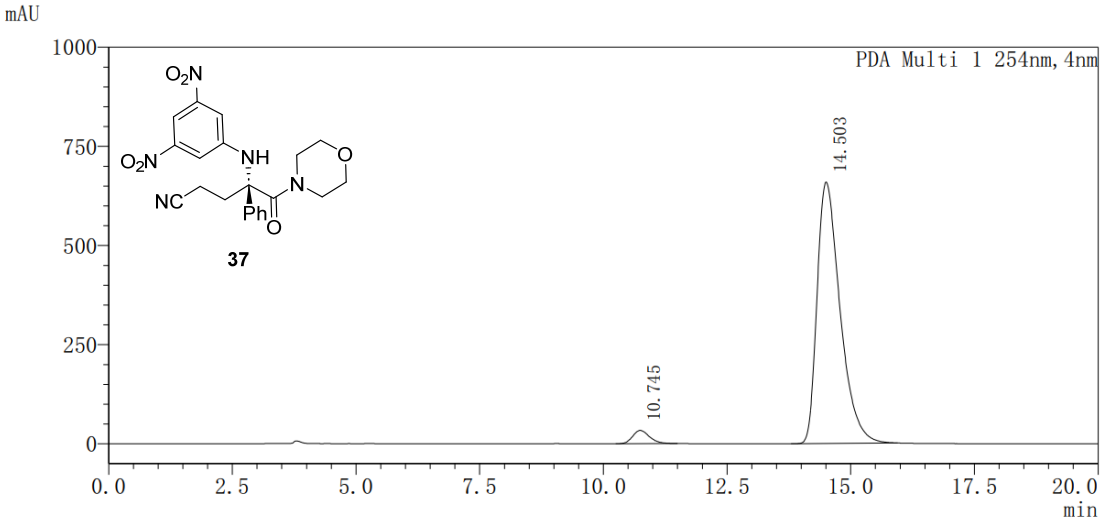
Peak#	Ret. Time	Area	Area%
1	21.531	821718	6.914
2	27.678	11062304	93.086



Peak Table

PDA Ch1 254nm

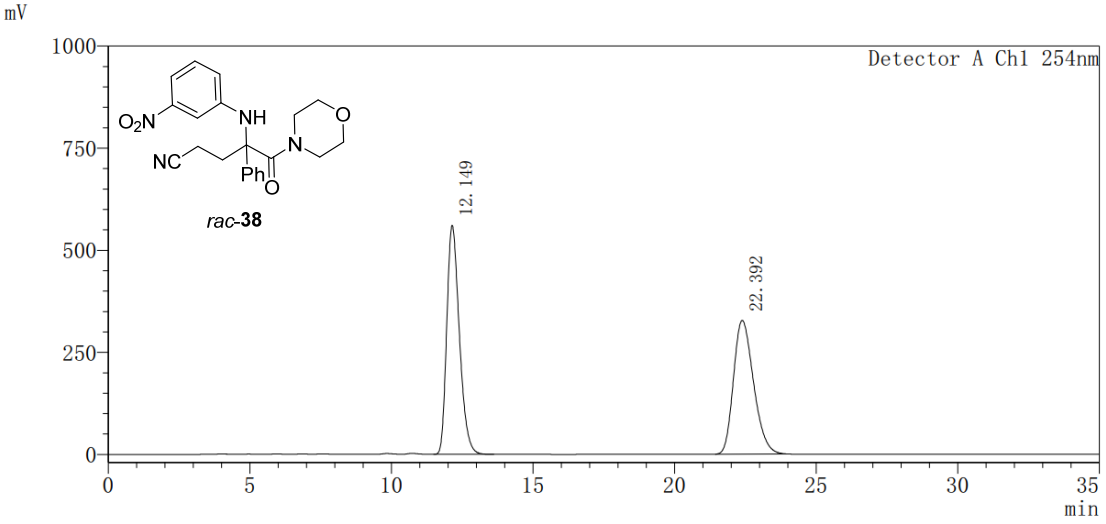
Peak#	Ret. Time	Area	Area%
1	10.685	4815014	49.984
2	14.615	4818013	50.016



Peak Table

PDA Ch1 254nm

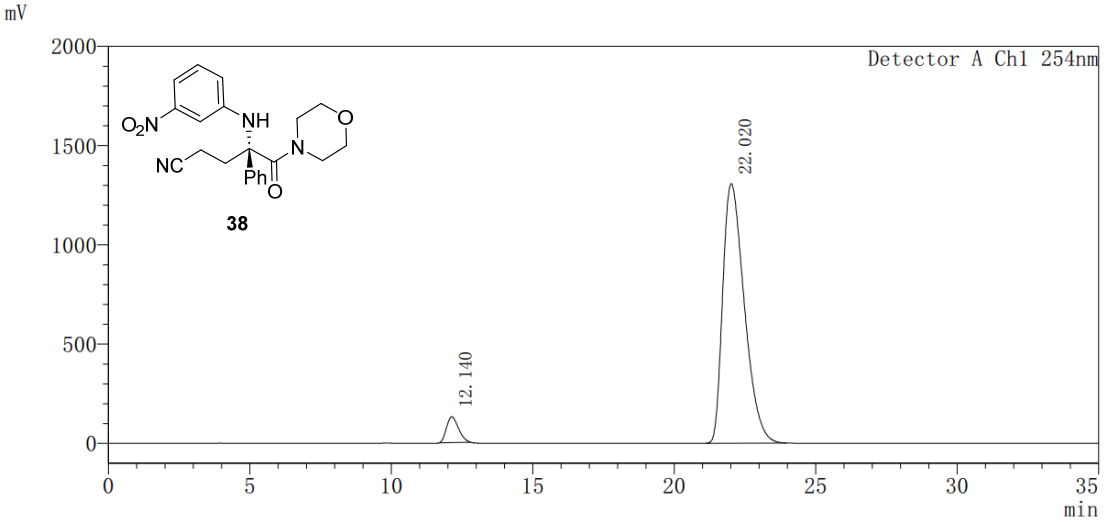
Peak#	Ret. Time	Area	Area%
1	10.745	797170	3.606
2	14.503	21311372	96.394



Peak Table

Detector A Ch1 254nm

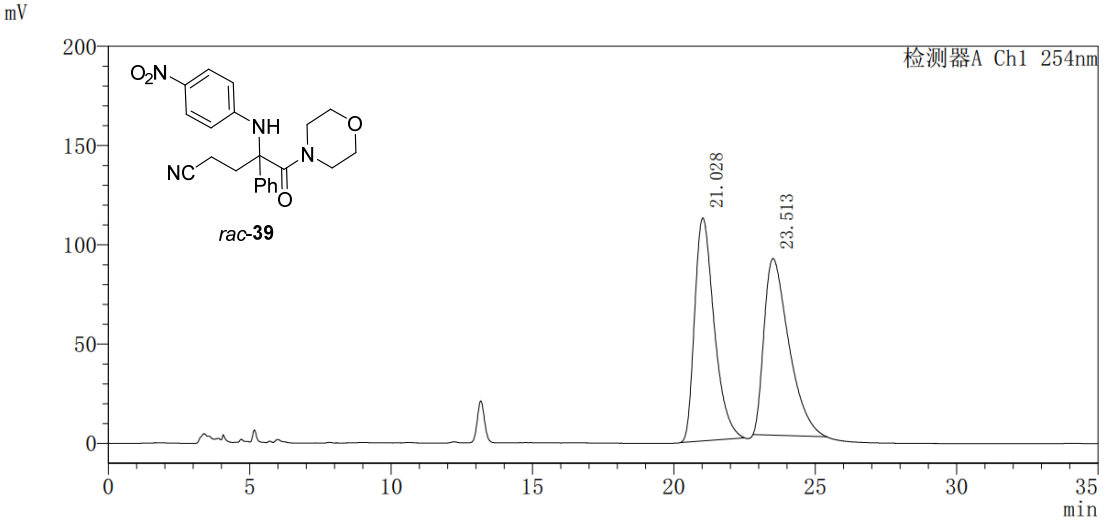
Peak#	Ret. Time	Area	Area%
1	12.149	17343921	51.798
2	22.392	16139935	48.202



Peak Table

Detector A Ch1 254nm

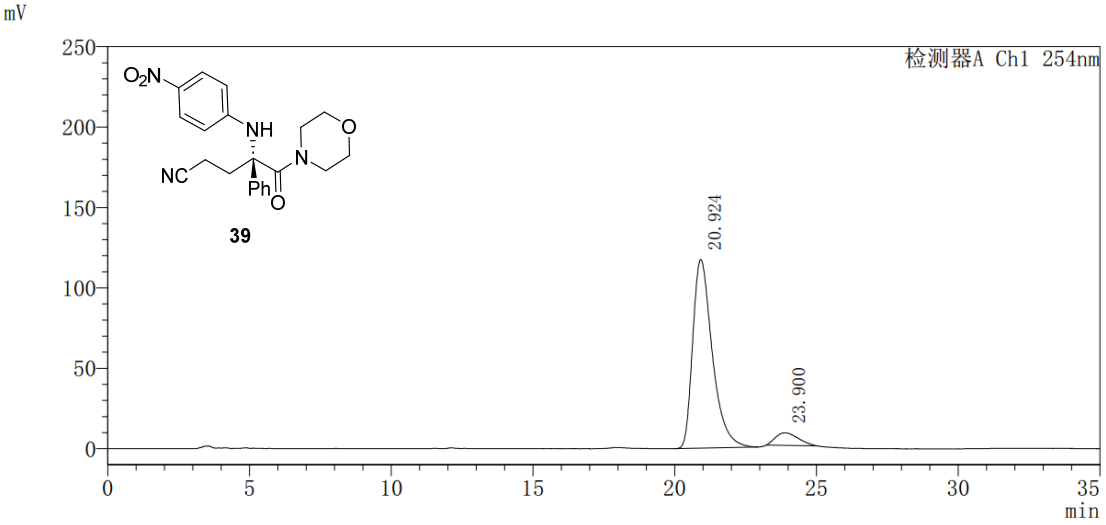
Peak#	Ret. Time	Area	Area%
1	12.140	3841583	5.245
2	22.020	69407421	94.755



Peak Table

检测器A Ch1 254nm

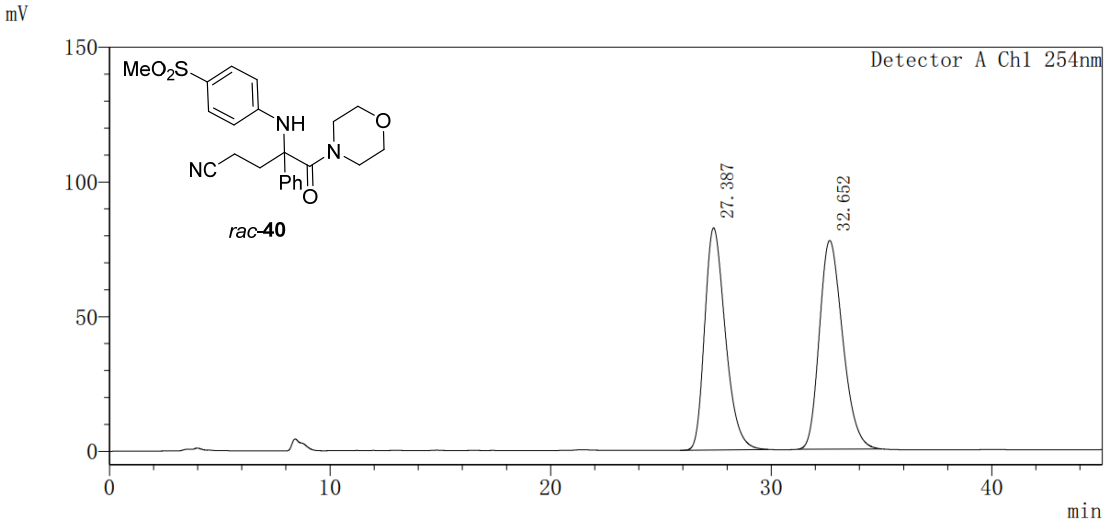
Peak#	Ret. Time	Area	Area%
1	21.028	5401830	49.725
2	23.513	5461521	50.275



Peak Table

检测器A Ch1 254nm

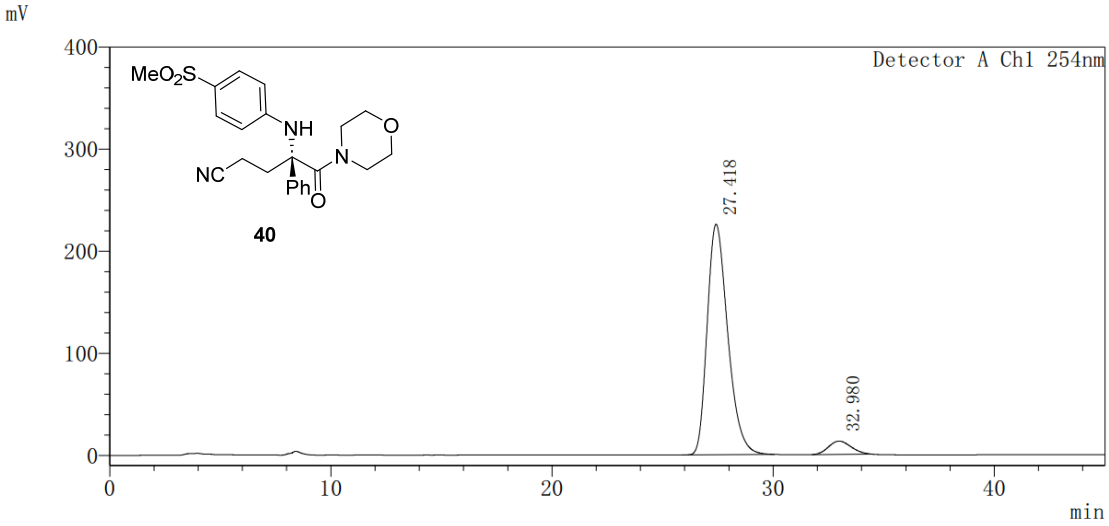
Peak#	Ret. Time	Area	Area%
1	20.924	5638834	92.929
2	23.900	429056	7.071



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	27.387	5370469	48.561
2	32.652	5688771	51.439

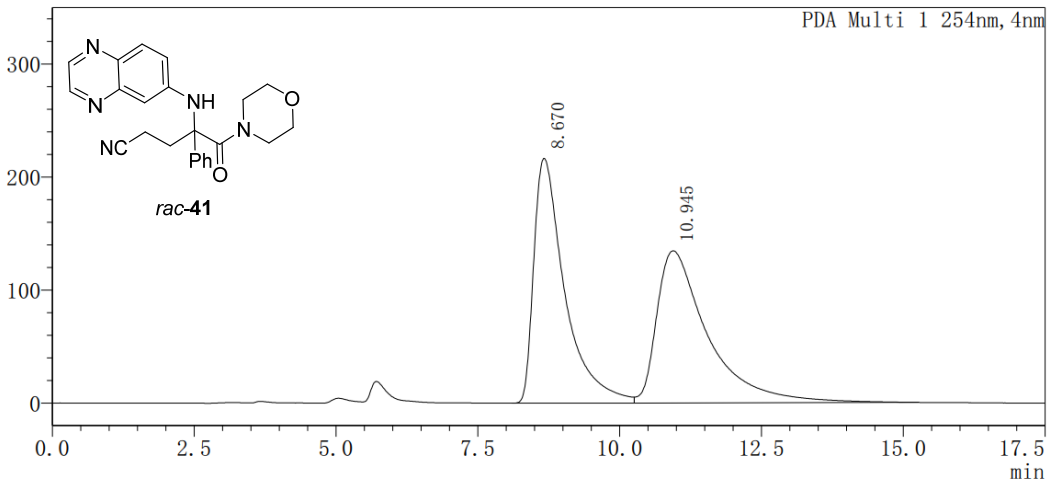


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	27.418	14628297	94.059
2	32.980	923975	5.941

mAU

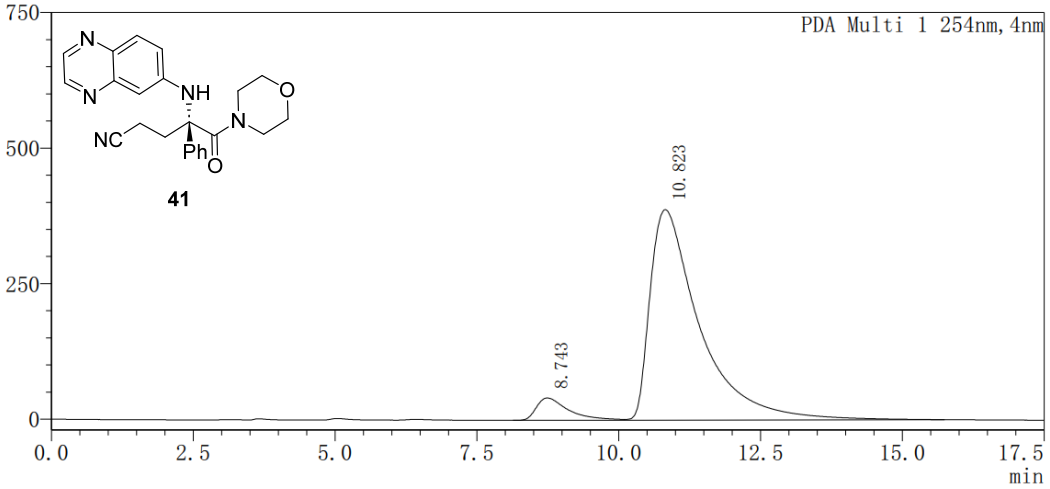


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.670	8245398	49.418
2	10.945	8439562	50.582

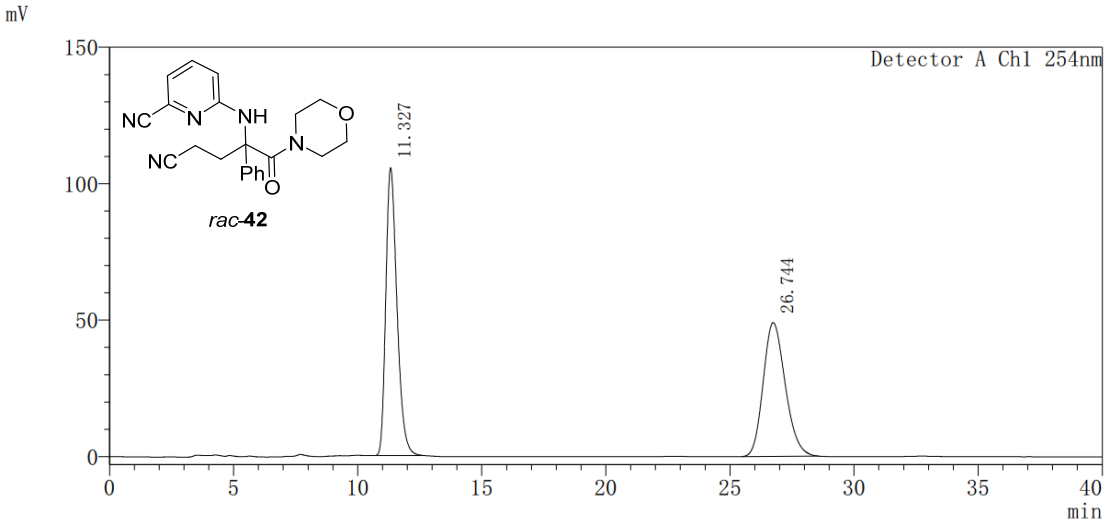
mAU



Peak Table

PDA Ch1 254nm

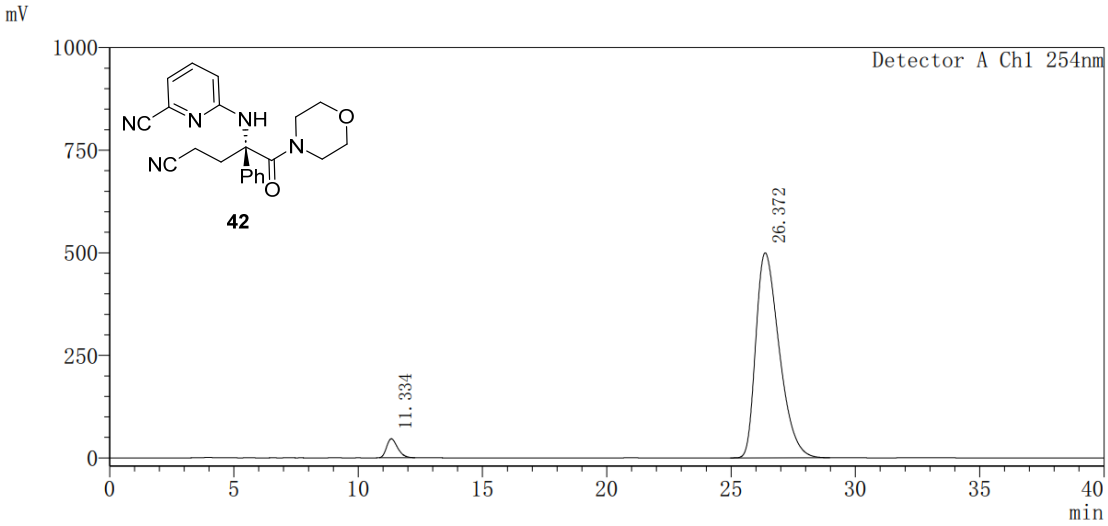
Peak#	Ret. Time	Area	Area%
1	8.743	1614058	6.381
2	10.823	23681831	93.619



Peak Table

Detector A Ch1 254nm

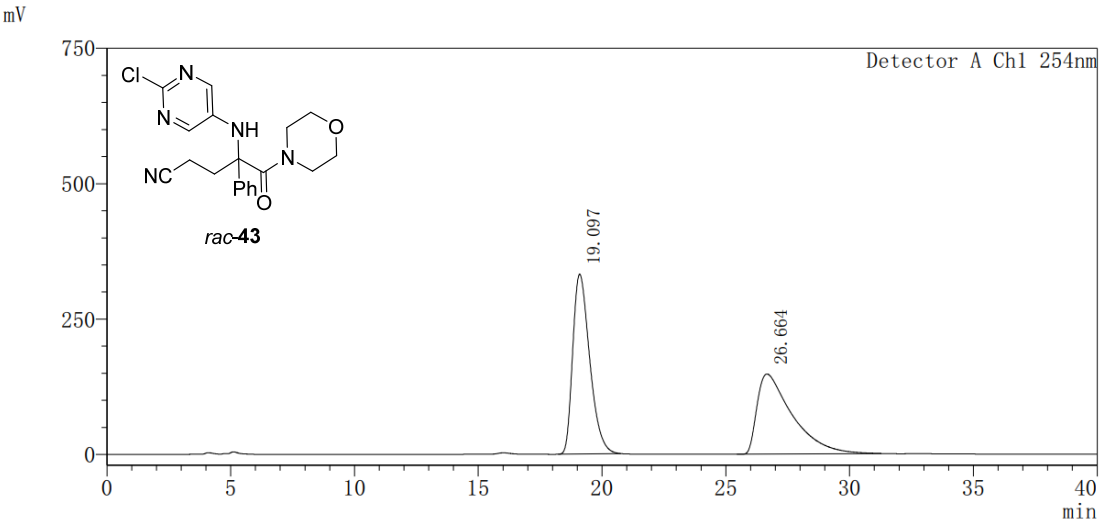
Peak#	Ret. Time	Area	Area%
1	11.327	3295529	52.086
2	26.744	3031518	47.914



Peak Table

Detector A Ch1 254nm

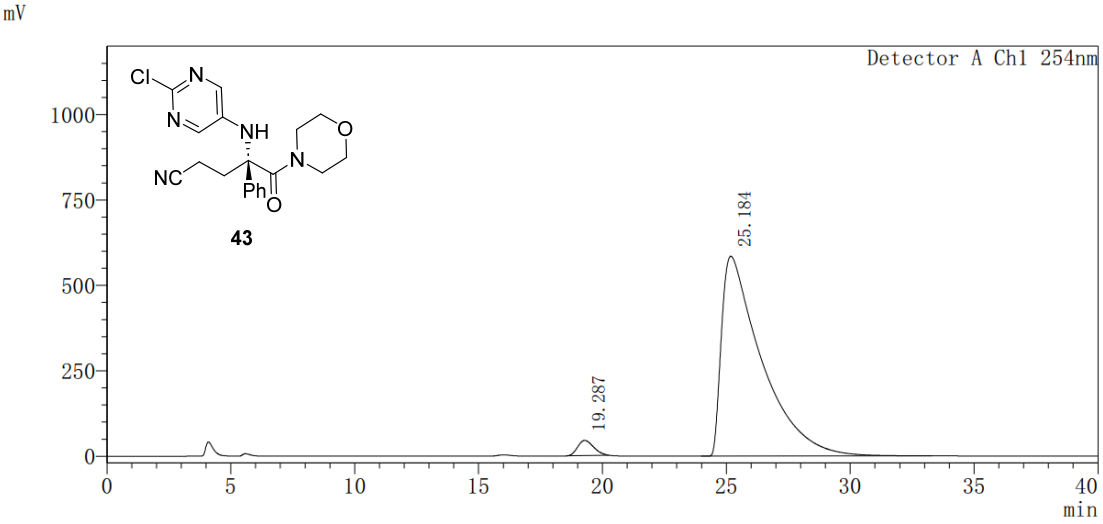
Peak#	Ret. Time	Area	Area%
1	11.334	1413400	4.135
2	26.372	32766113	95.865



Peak Table

Detector A Ch1 254nm

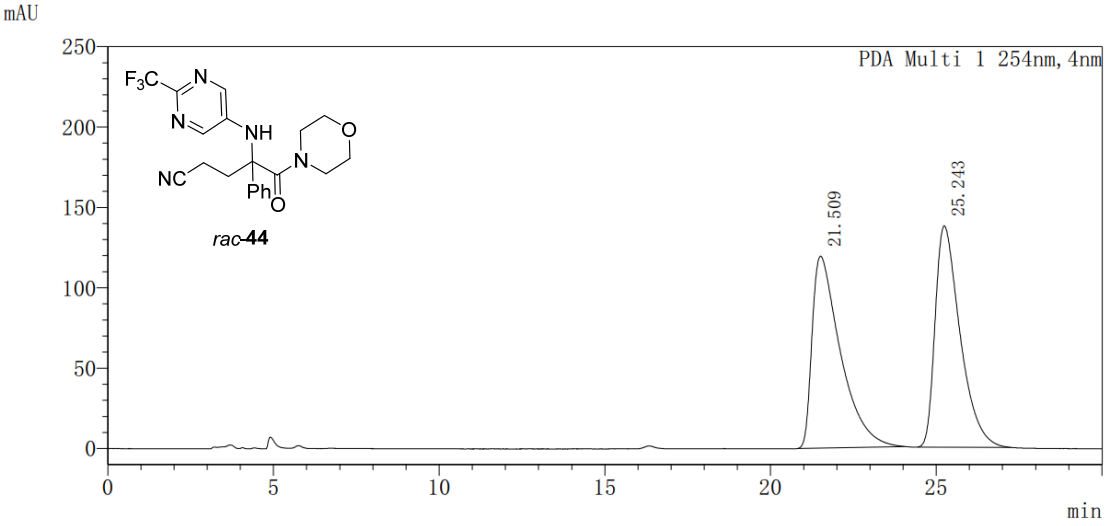
Peak#	Ret. Time	Area	Area%
1	19.097	15887386	52.079
2	26.664	14619061	47.921



Peak Table

Detector A Ch1 254nm

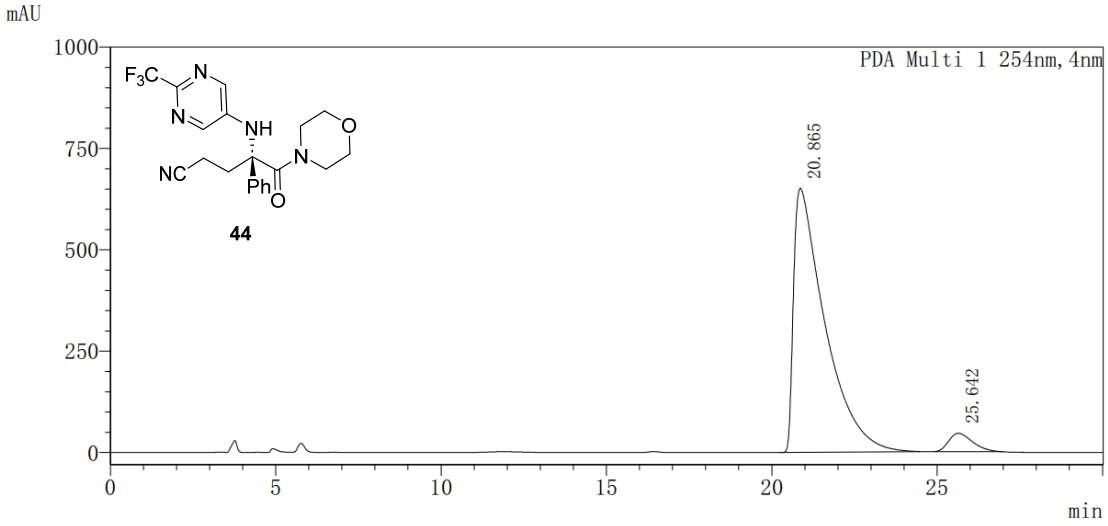
Peak#	Ret. Time	Area	Area%
1	19.287	1990300	2.925
2	25.184	66053307	97.075



Peak Table

PDA Ch1 254nm

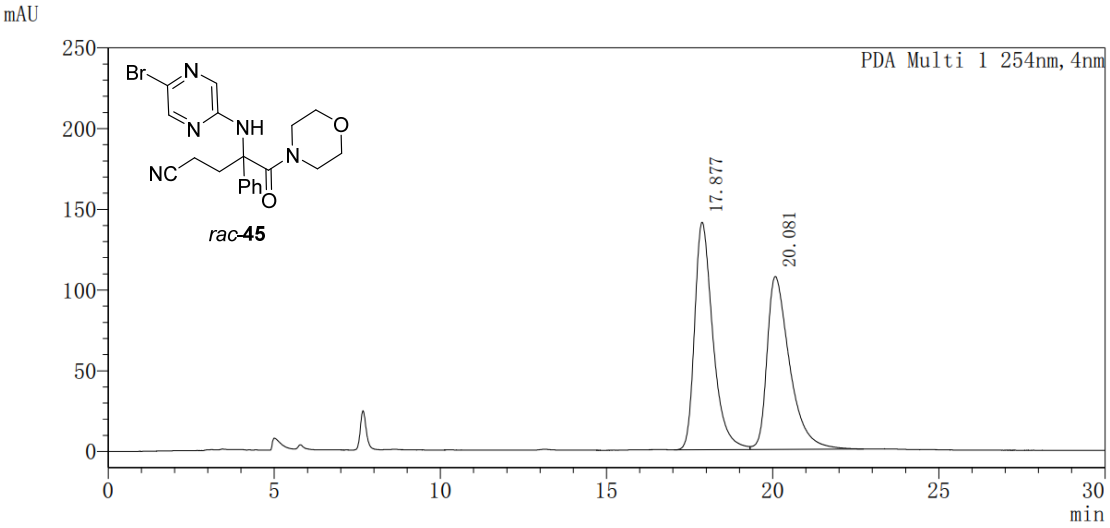
Peak#	Ret. Time	Area	Area%
1	21.509	7119418	49.693
2	25.243	7207302	50.307



Peak Table

PDA Ch1 254nm

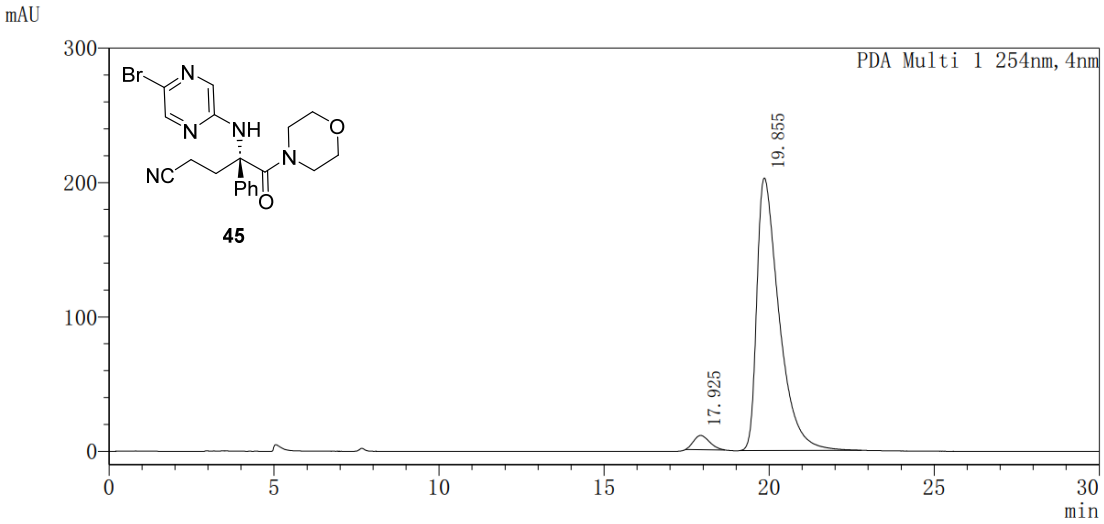
Peak#	Ret. Time	Area	Area%
1	20.865	42918420	94.957
2	25.642	2279350	5.043



Peak Table

PDA Ch1 254nm

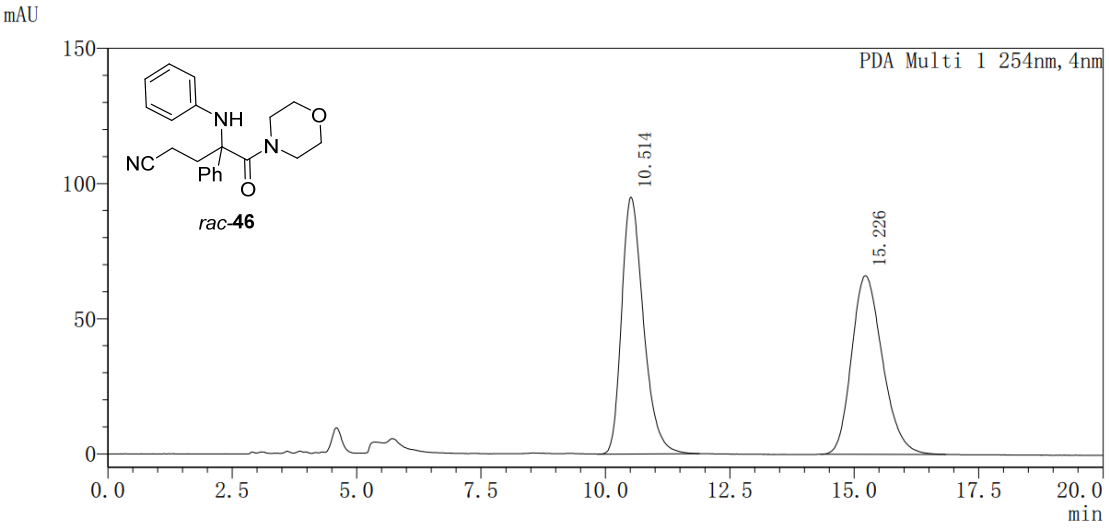
Peak#	Ret. Time	Area	Area%
1	17.877	5403184	51.537
2	20.081	5080893	48.463



Peak Table

PDA Ch1 254nm

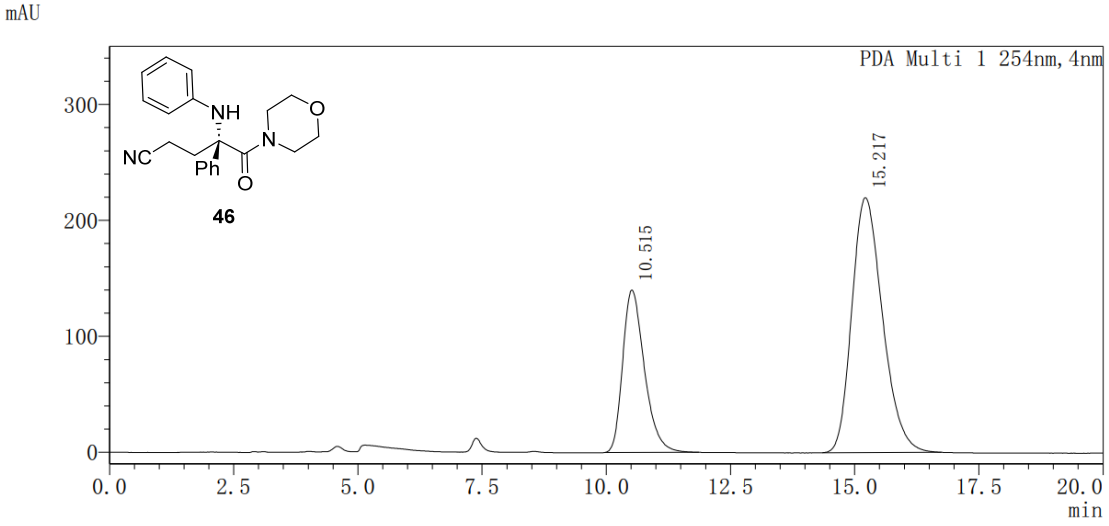
Peak#	Ret. Time	Area	Area%
1	17.925	355459	3.599
2	19.855	9521663	96.401



Peak Table

PDA Ch1 254nm

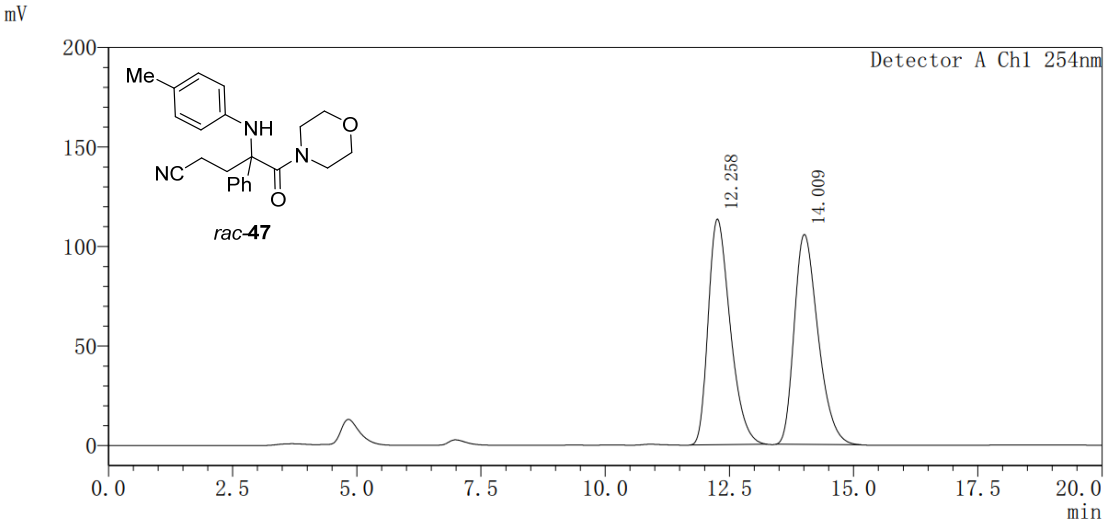
Peak#	Ret. Time	Area	Area%
1	10.514	2902926	50.413
2	15.226	2855419	49.587



Peak Table

PDA Ch1 254nm

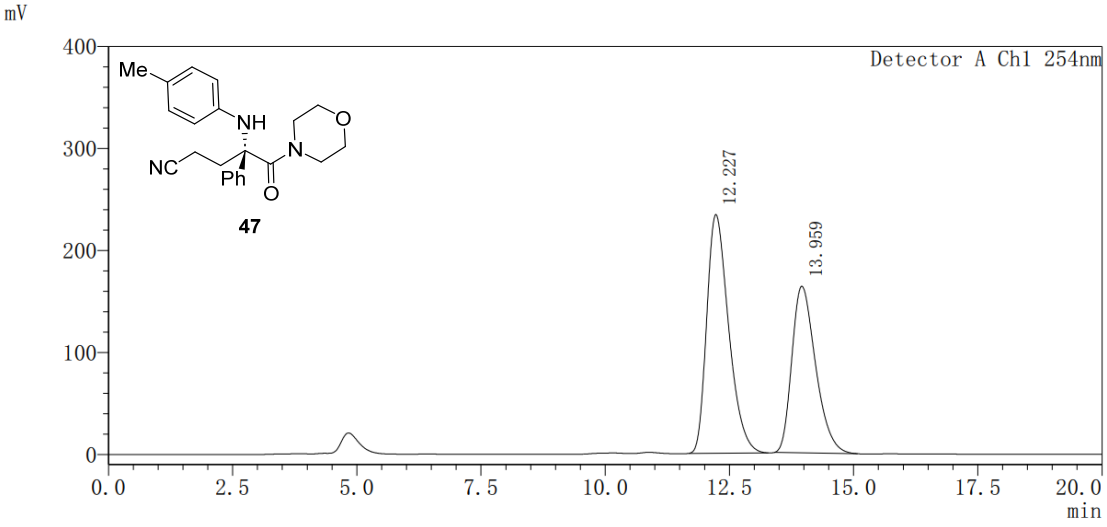
Peak#	Ret. Time	Area	Area%
1	10.515	4272355	31.116
2	15.217	9458227	68.884



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.258	3490054	49.833
2	14.009	3513515	50.167

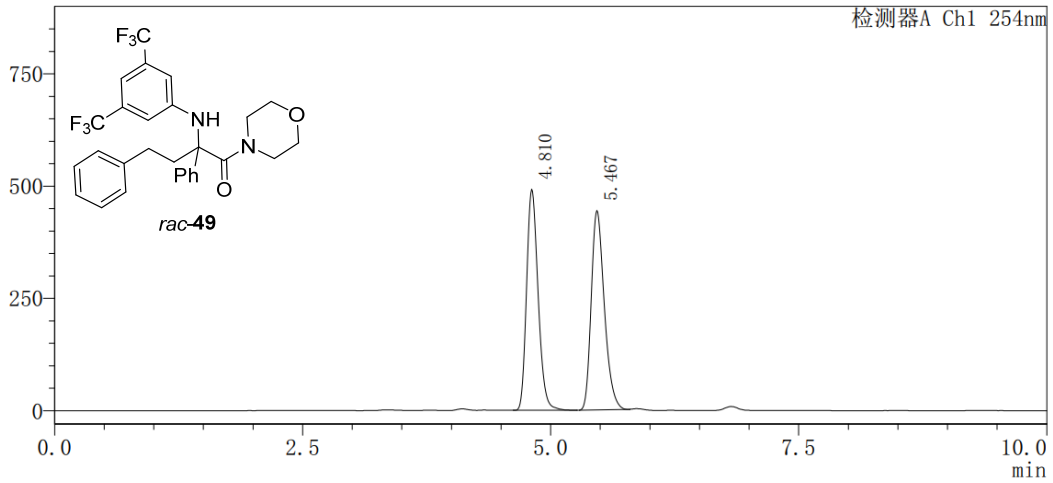


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	12.227	7191083	57.010
2	13.959	5422718	42.990

mV

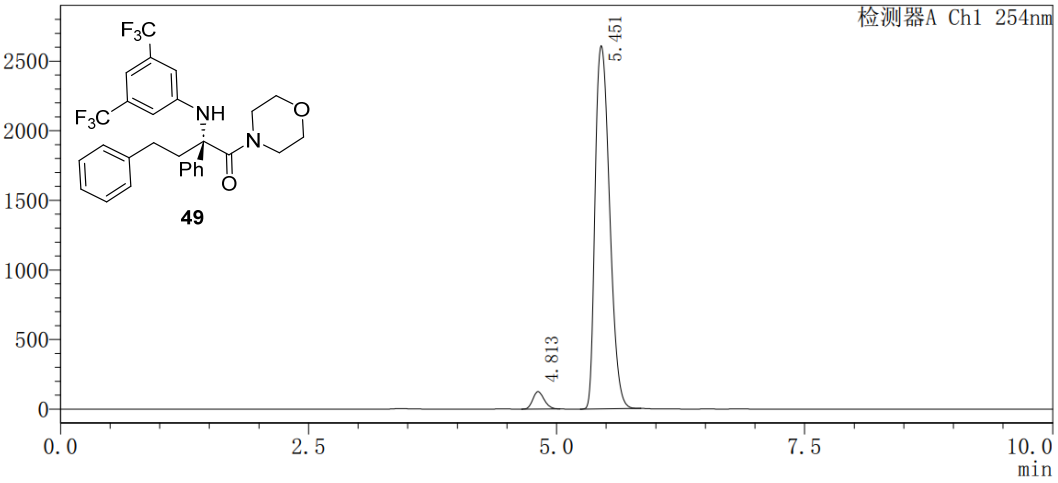


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	4.810	3981096	49.440
2	5.467	4071353	50.560

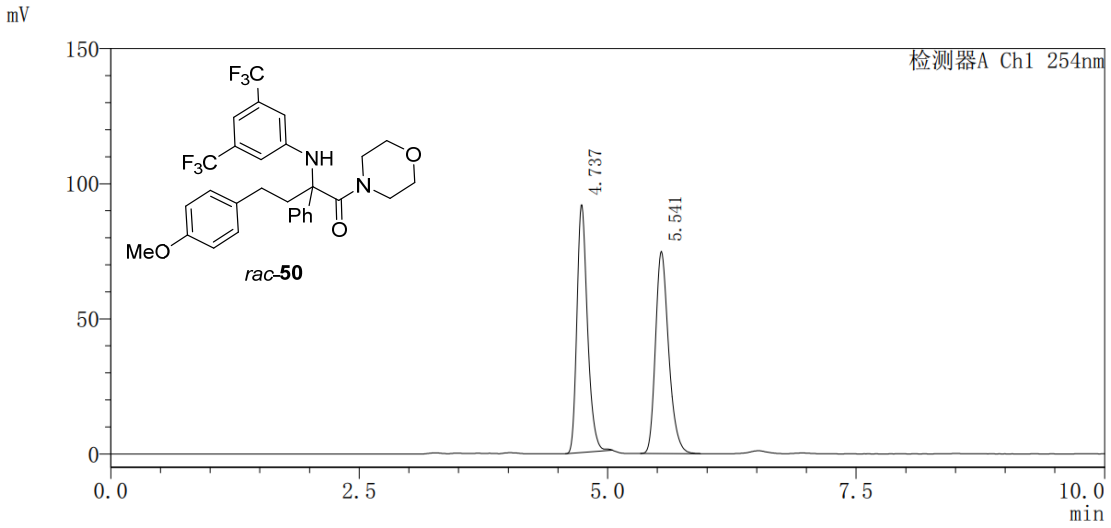
mV



Peak Table

检测器A Ch1 254nm

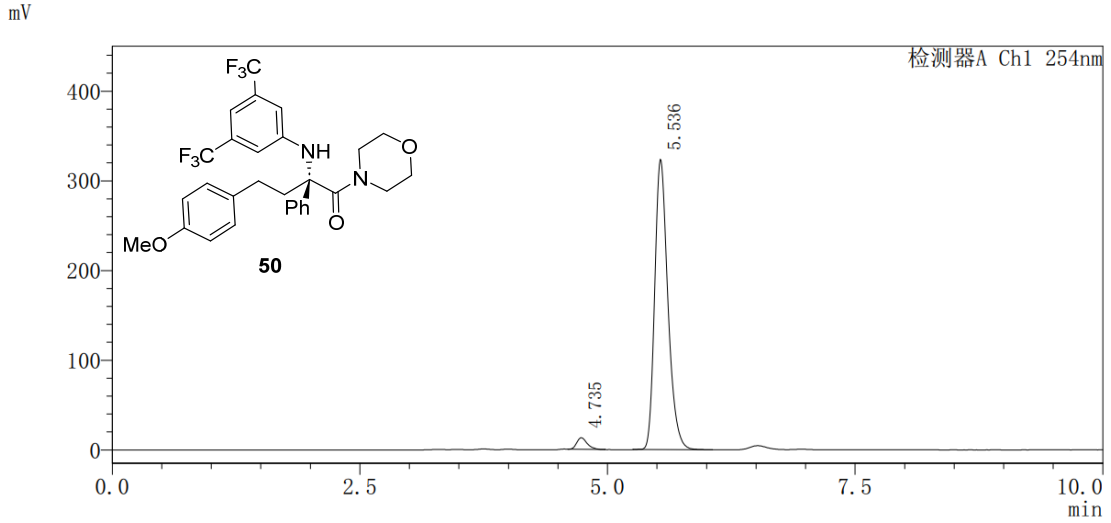
Peak#	Ret. Time	Area	Area%
1	4.813	996693	3.616
2	5.451	26566112	96.384



Peak Table

检测器A Ch1 254nm

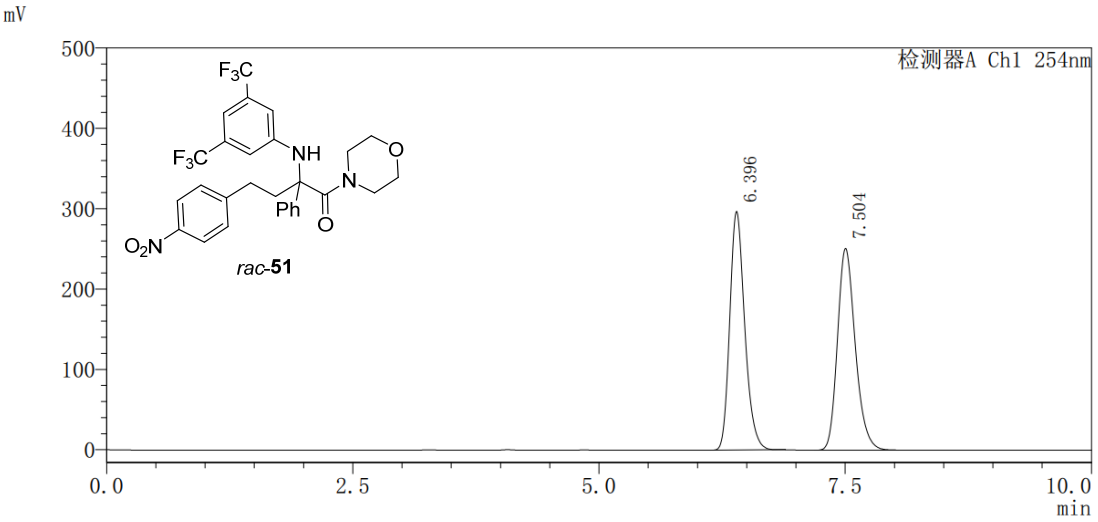
Peak#	Ret. Time	Area	Area%
1	4.737	679913	49.664
2	5.541	689105	50.336



Peak Table

检测器A Ch1 254nm

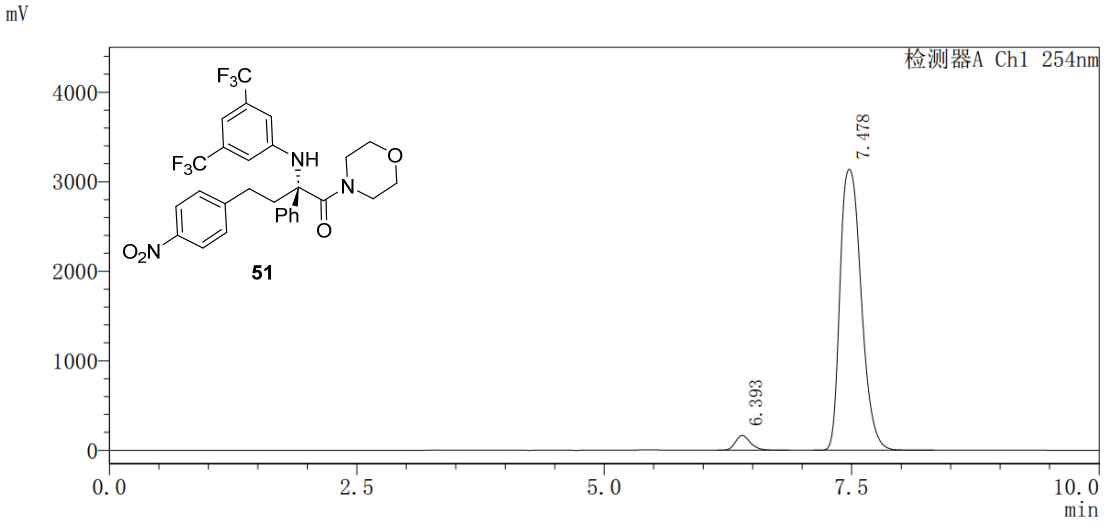
Peak#	Ret. Time	Area	Area%
1	4.735	94665	3.062
2	5.536	2997065	96.938



Peak Table

检测器A Ch1 254nm

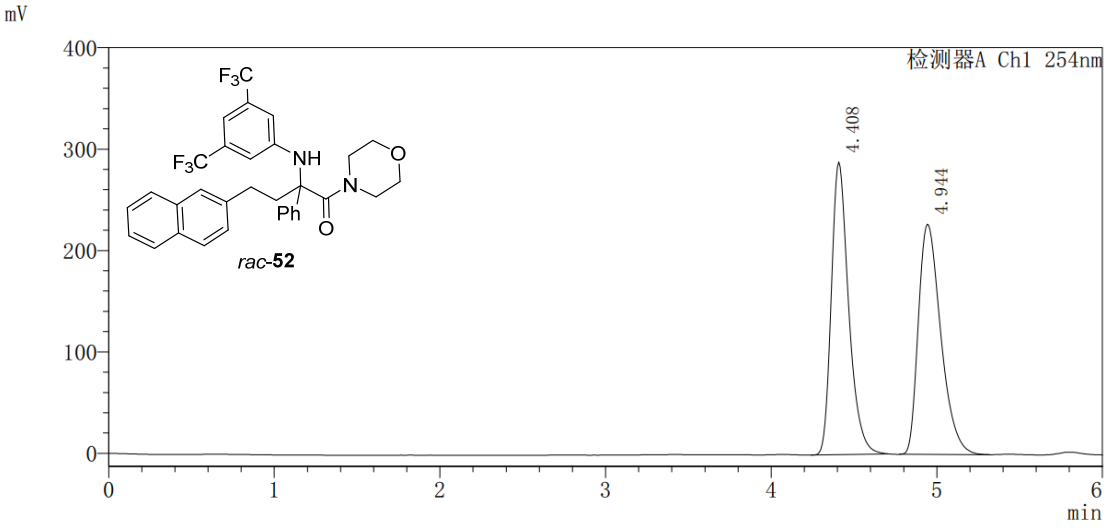
Peak#	Ret. Time	Area	Area%
1	6.396	3146093	49.919
2	7.504	3156300	50.081



Peak Table

检测器A Ch1 254nm

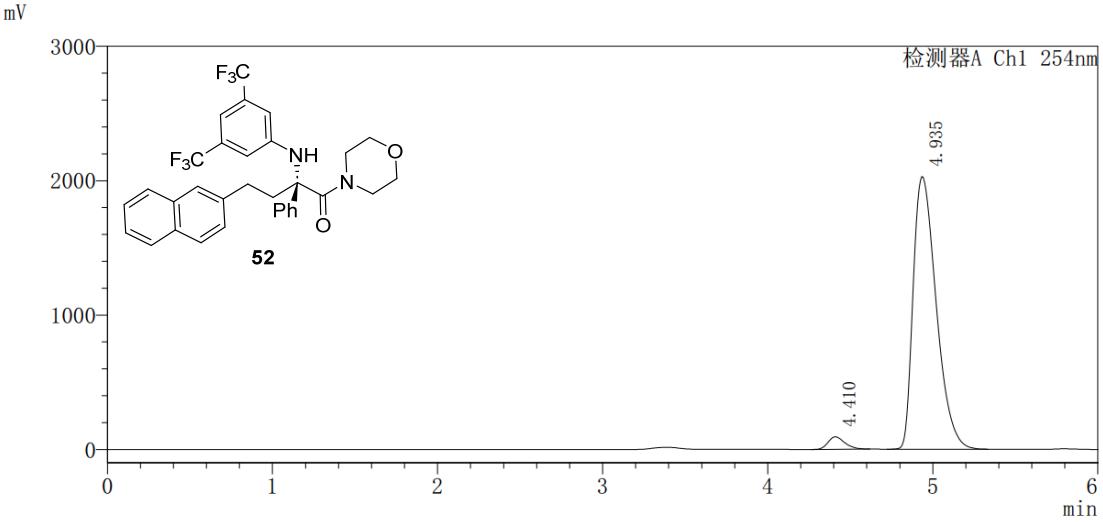
Peak#	Ret. Time	Area	Area%
1	6.393	1741706	3.587
2	7.478	46811365	96.413



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	4.408	2099993	49.508
2	4.944	2141748	50.492

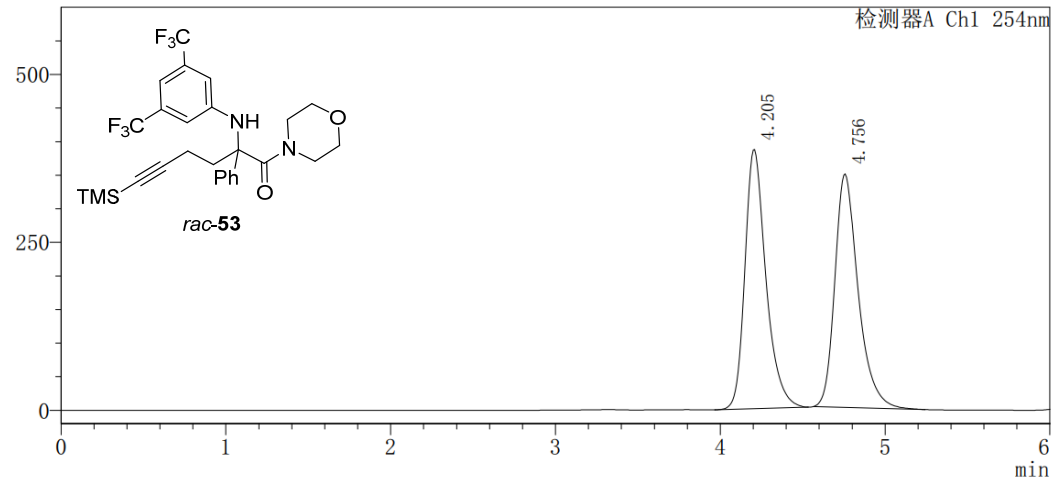


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	4.410	658891	3.231
2	4.935	19736941	96.769

mV

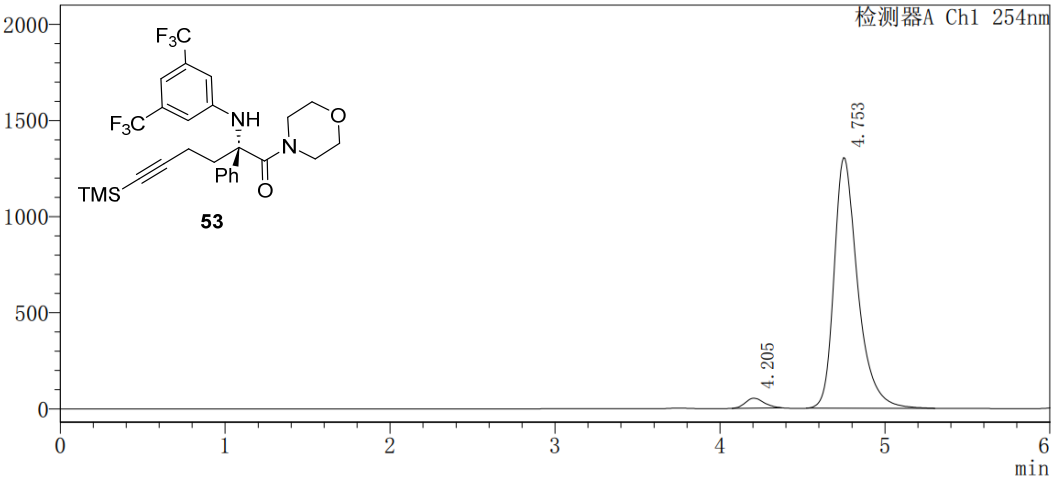


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	4.205	3432175	50.267
2	4.756	3395746	49.733

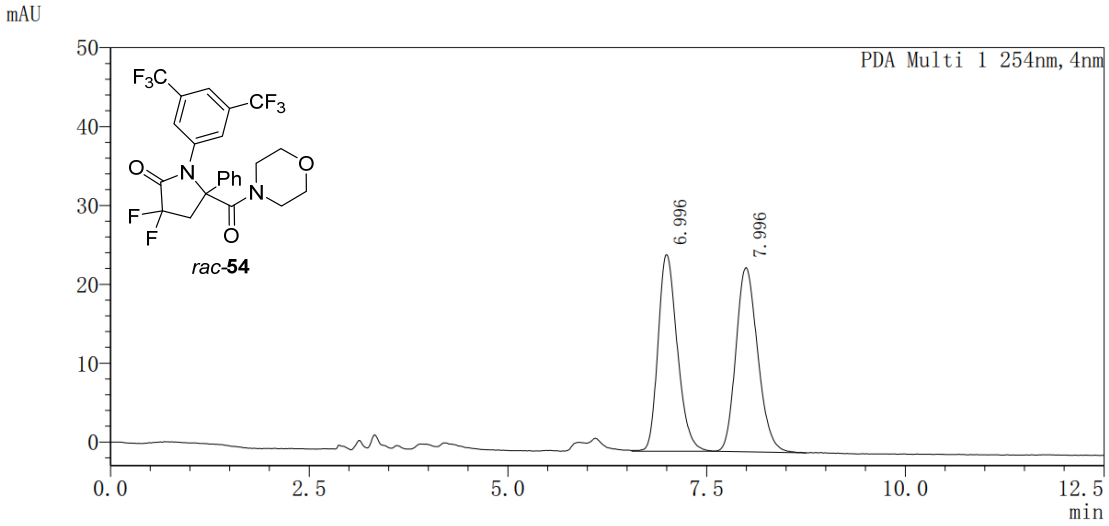
mV



Peak Table

检测器A Ch1 254nm

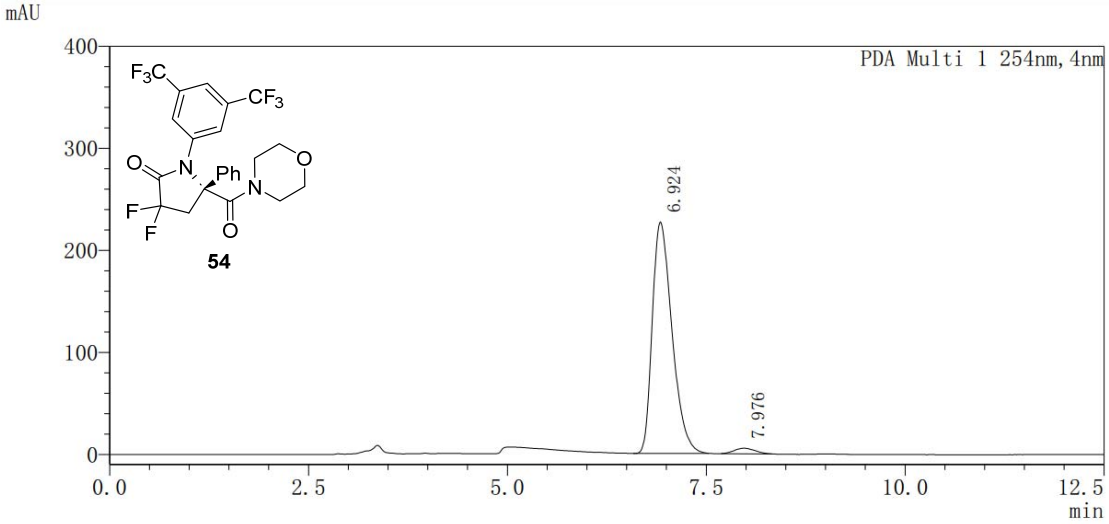
Peak#	Ret. Time	Area	Area%
1	4.205	401975	3.019
2	4.753	12912320	96.981



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.996	420678	48.523
2	7.996	446287	51.477

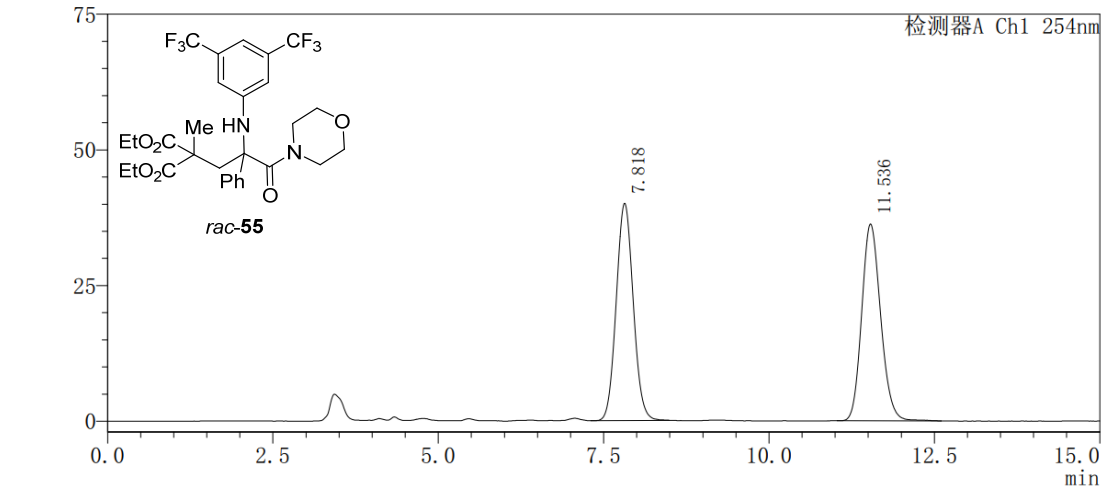


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.924	3913674	97.538
2	7.976	98786	2.462

mV

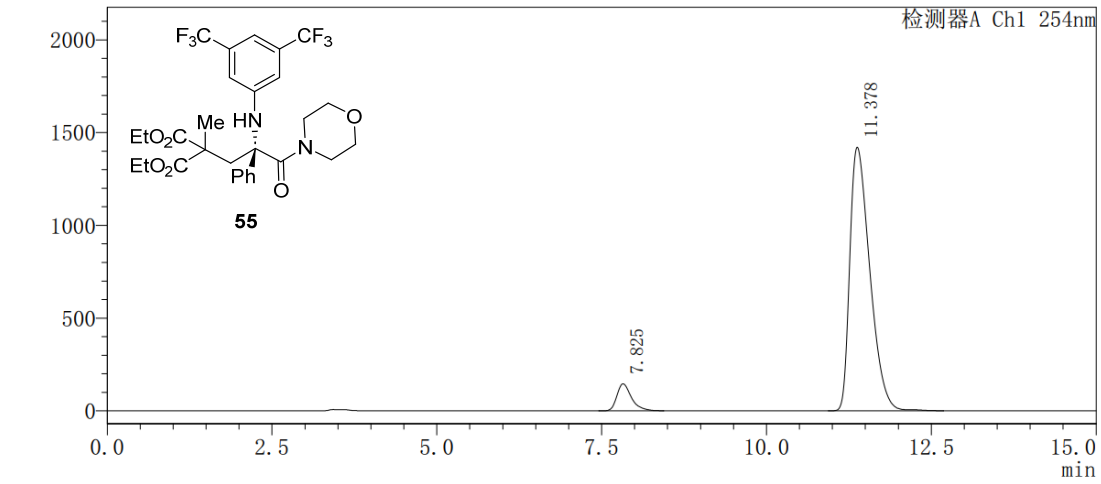


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.818	717281	49.768
2	11.536	723969	50.232

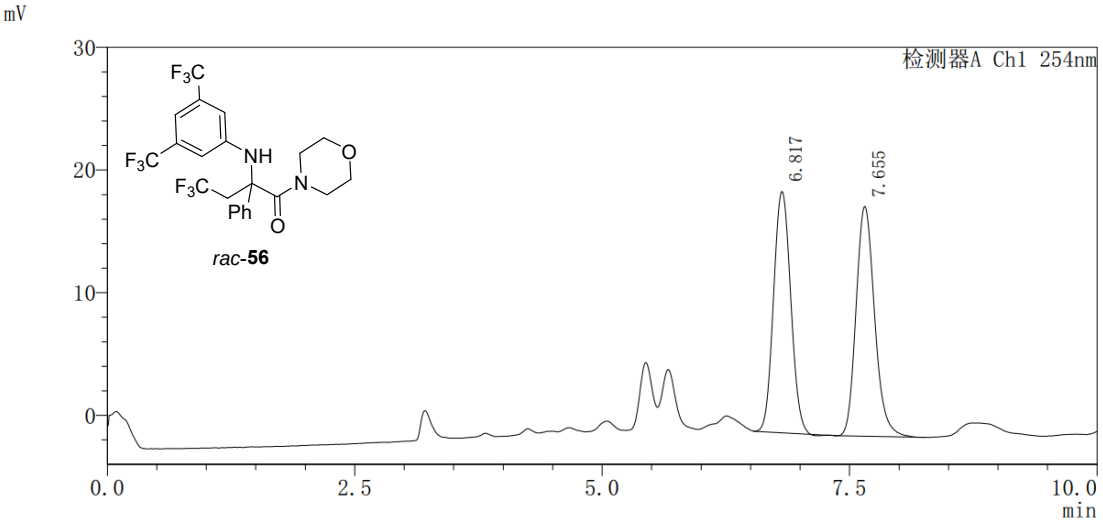
mV



Peak Table

检测器A Ch1 254nm

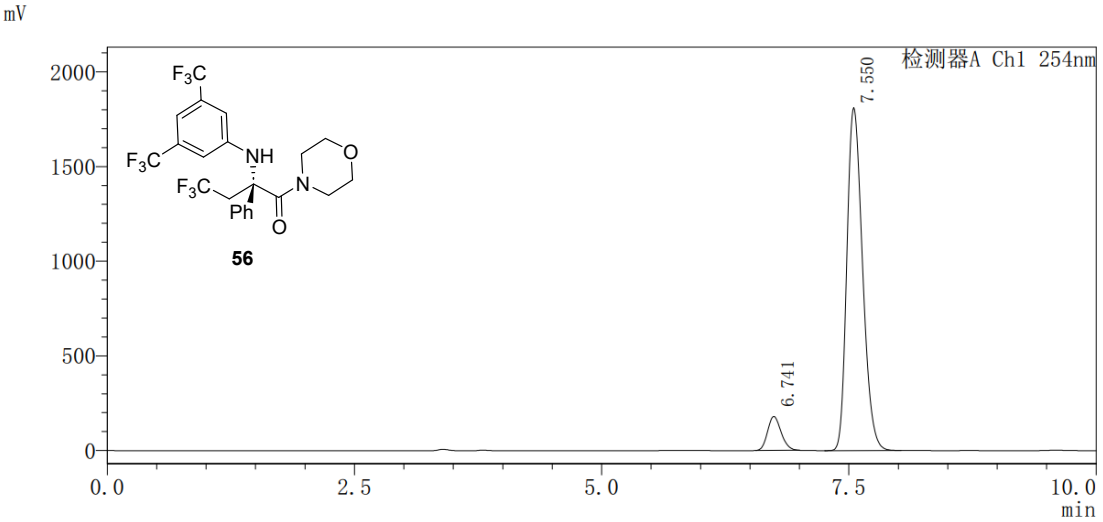
Peak#	Ret. Time	Area	Area%
1	7.825	2229909	6.903
2	11.378	30072814	93.097



Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.817	237269	49.188
2	7.655	245106	50.812

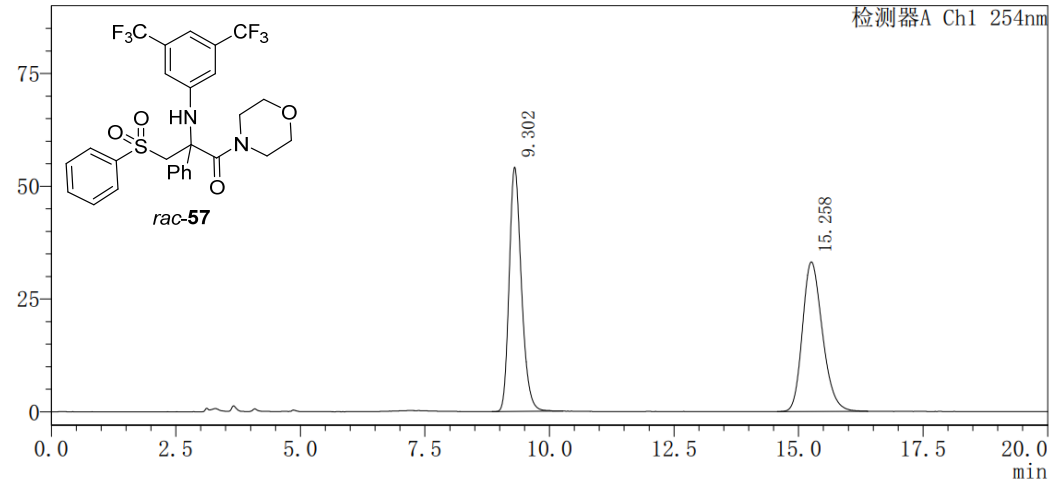


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	6.741	1711323	7.890
2	7.550	19979605	92.110

mV

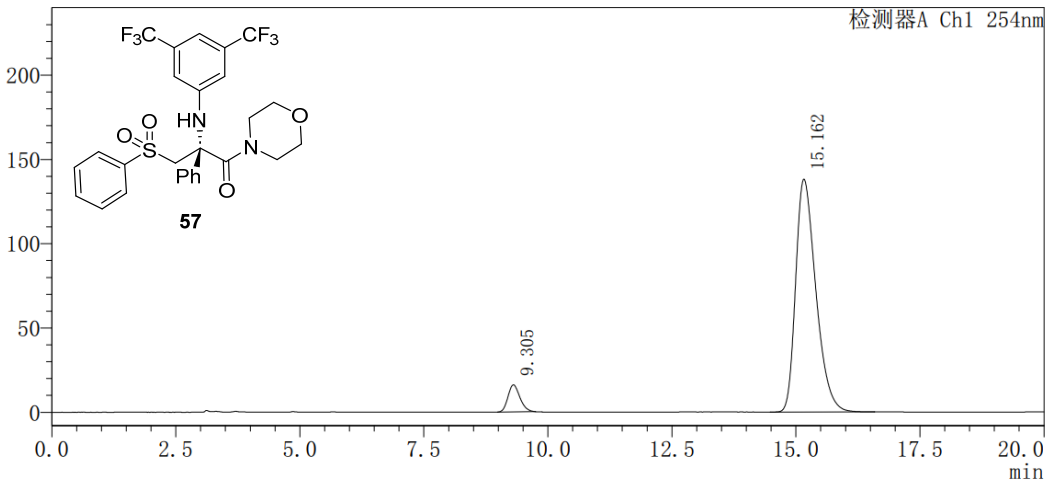


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.302	926868	49.971
2	15.258	927947	50.029

mV

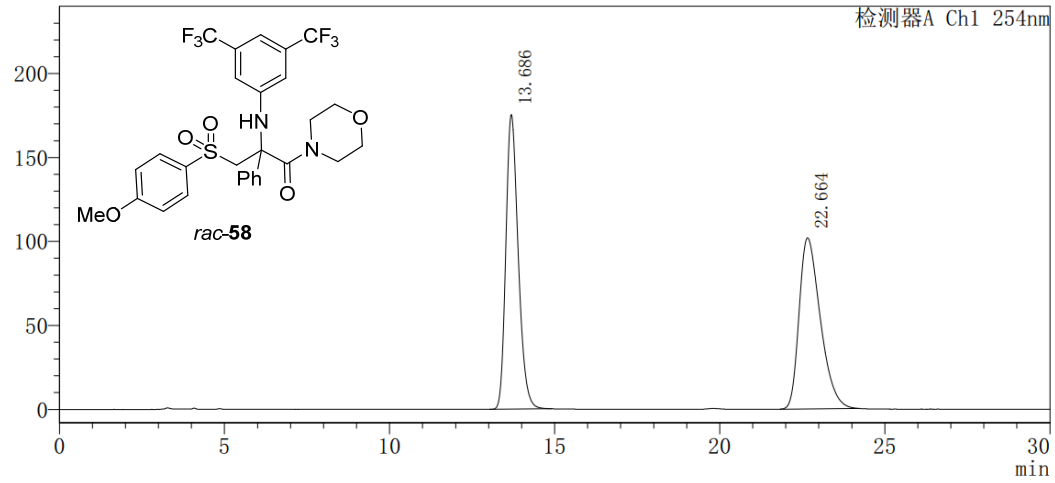


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.305	270269	6.457
2	15.162	3915675	93.543

mV

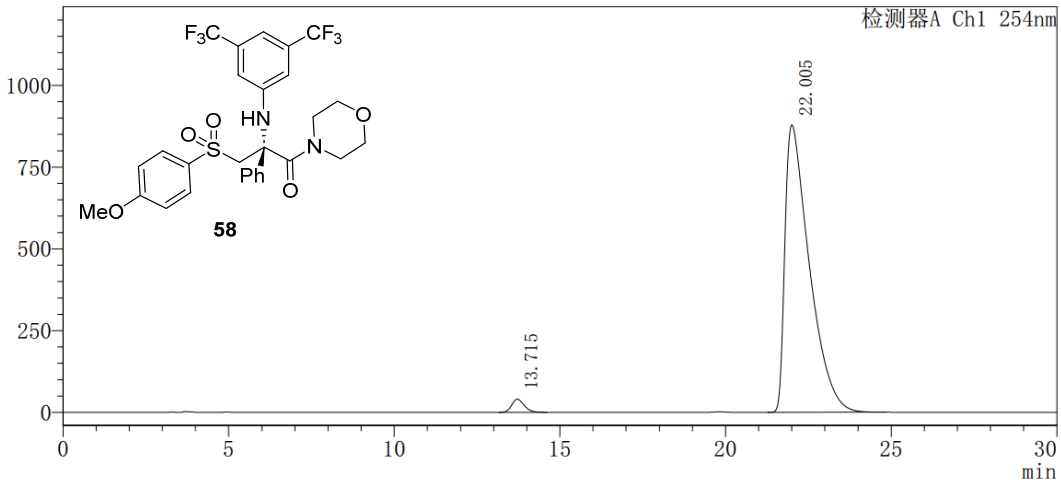


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.686	4578492	50.104
2	22.664	4559505	49.896

mV

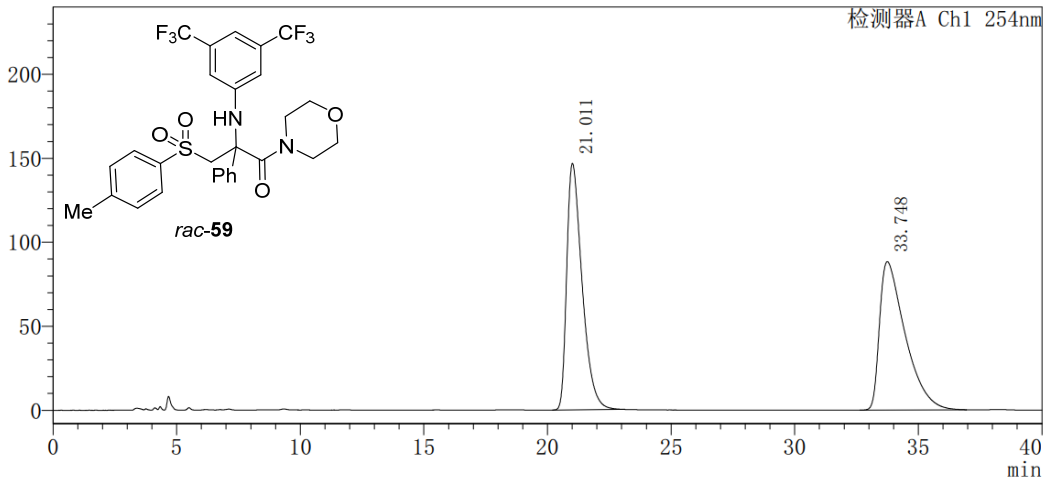


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	13.715	1049299	2.272
2	22.005	45143412	97.728

mV

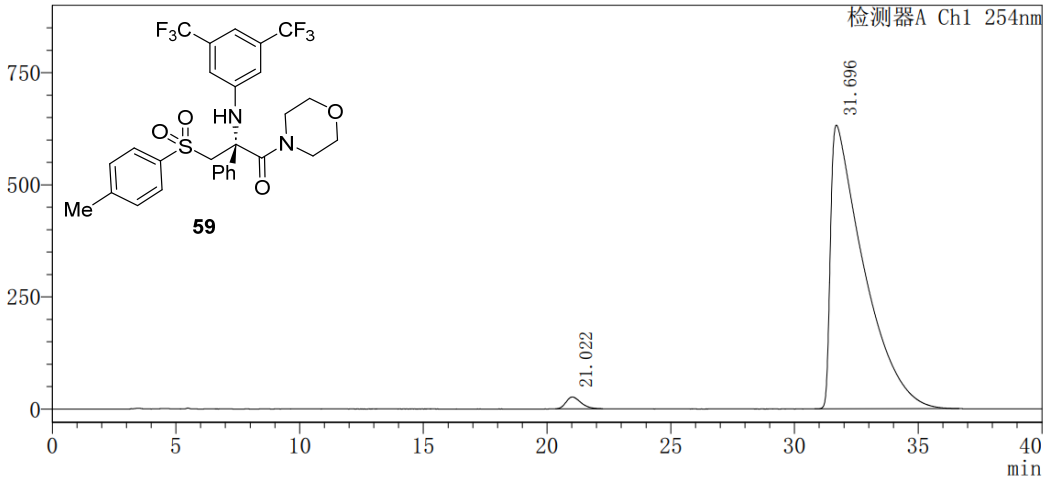


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	21.011	6343287	49.920
2	33.748	6363565	50.080

mV

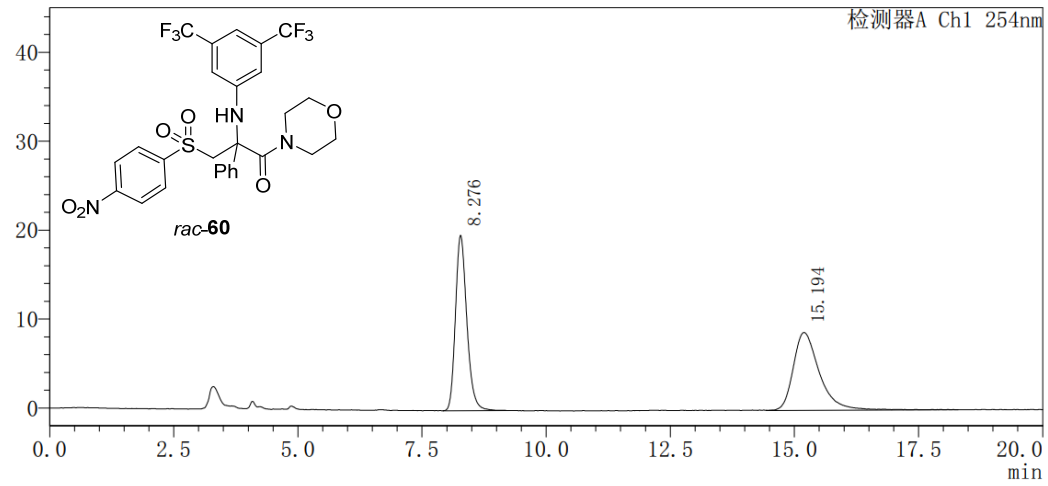


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	21.022	1102066	1.830
2	31.696	59135620	98.170

mV

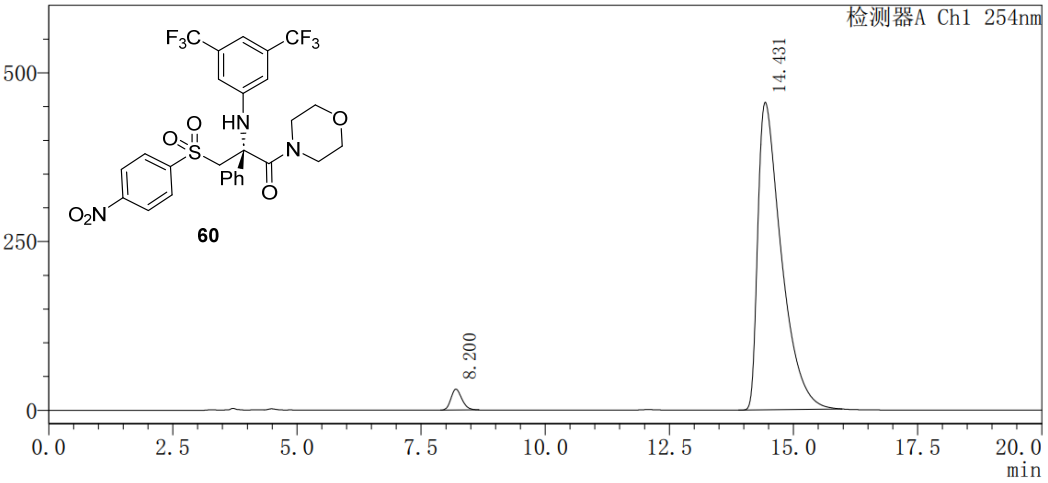


Peak Table

检测器A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.276	316067	49.677
2	15.194	320177	50.323

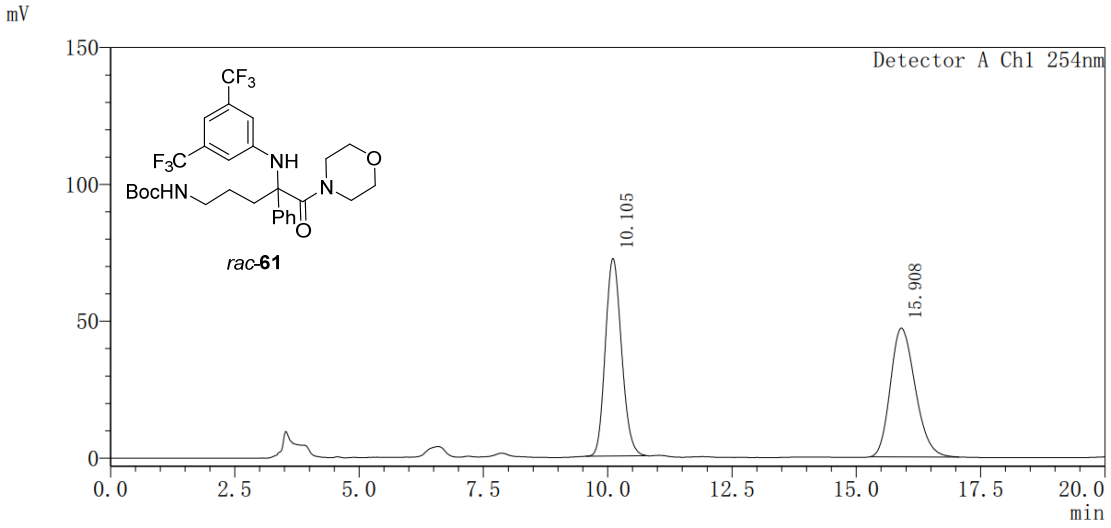
mV



Peak Table

检测器A Ch1 254nm

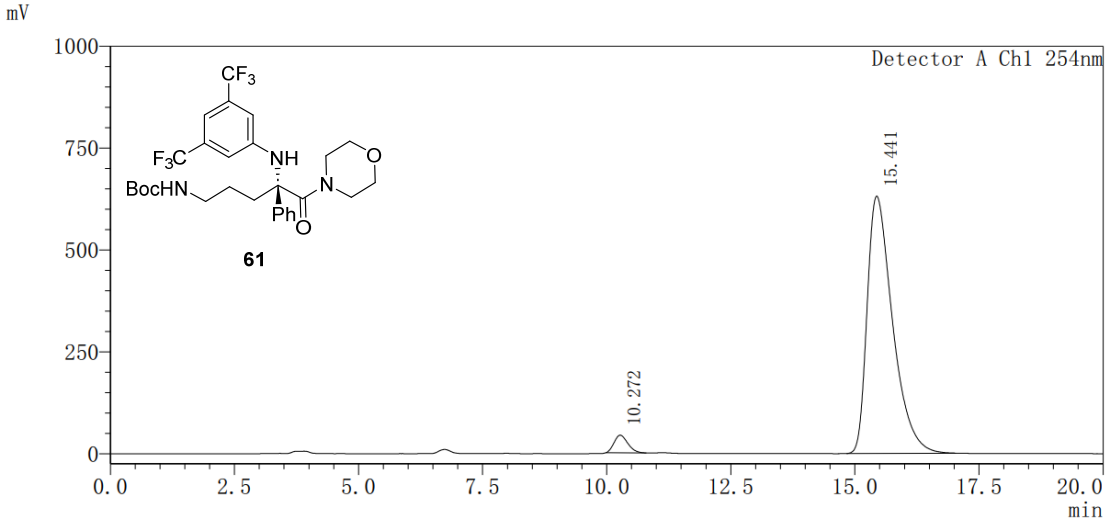
Peak#	Ret. Time	Area	Area%
1	8.200	476791	3.065
2	14.431	15077307	96.935



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.105	1631340	49.968
2	15.908	1633439	50.032

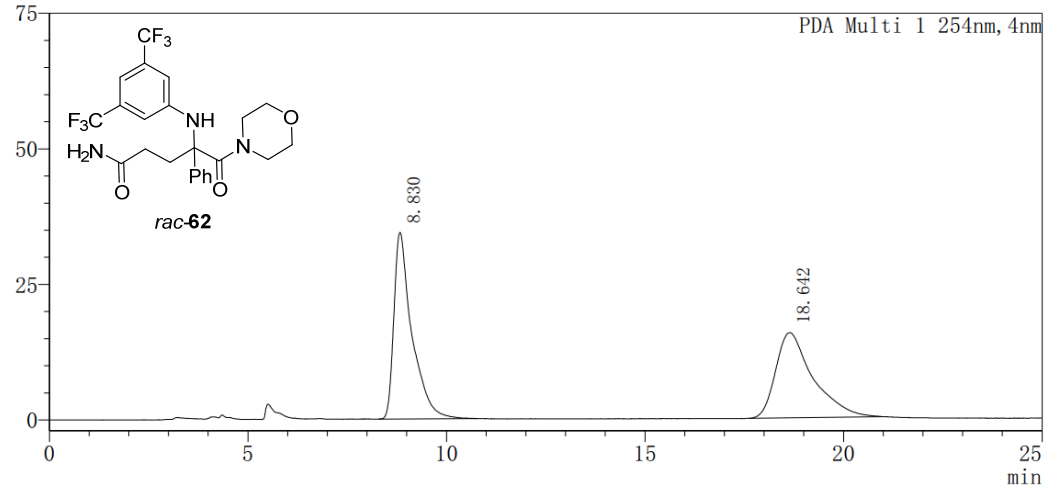


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	10.272	836493	3.583
2	15.441	22510543	96.417

mAU

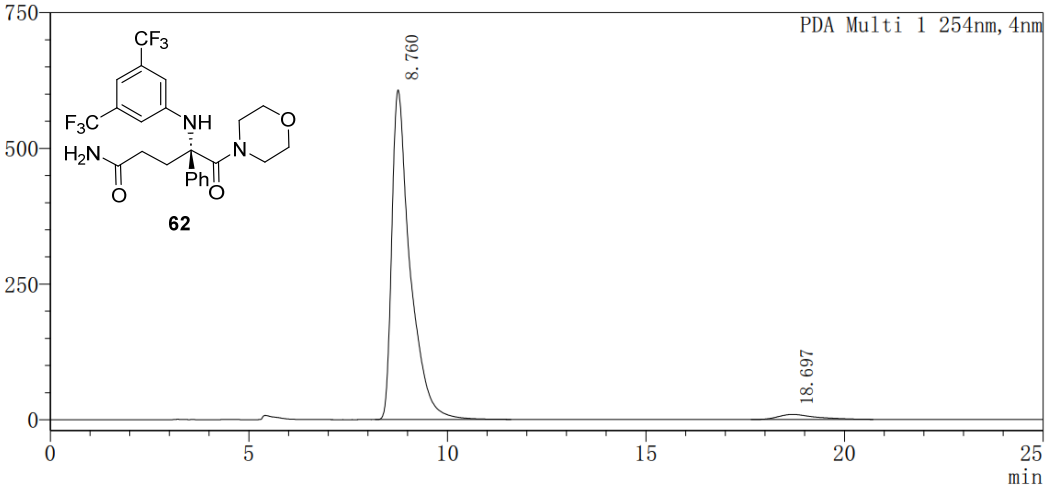


Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	8.830	1086769	51.522
2	18.642	1022566	48.478

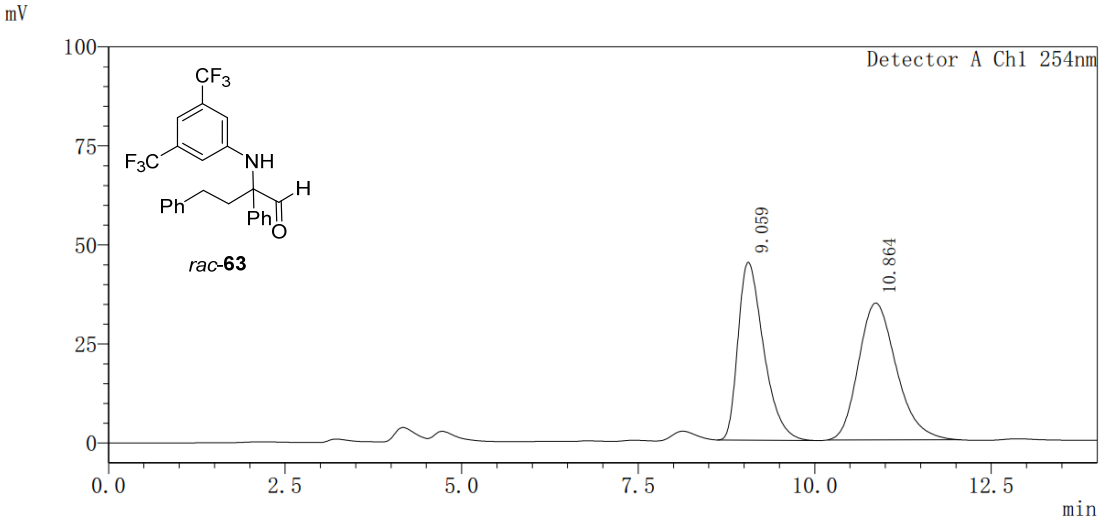
mAU



Peak Table

PDA Ch1 254nm

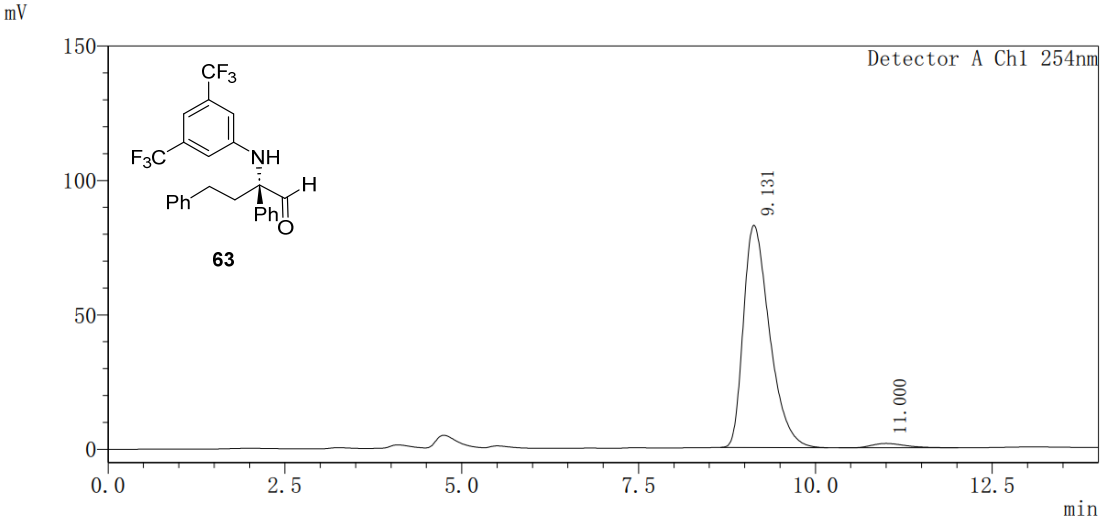
Peak#	Ret. Time	Area	Area%
1	8.760	19489300	97.010
2	18.697	600625	2.990



Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.059	1132827	46.733
2	10.864	1291225	53.267

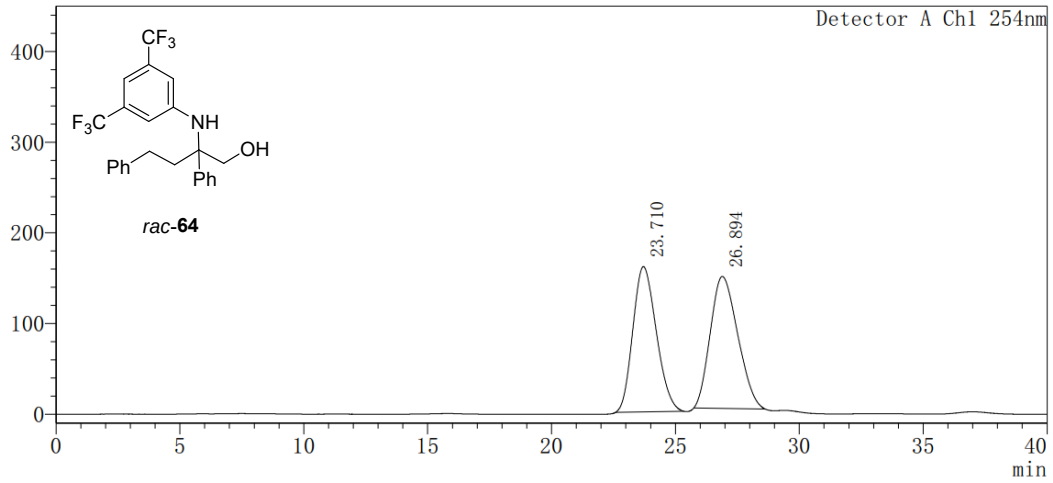


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	9.131	2129818	97.560
2	11.000	53274	2.440

mV

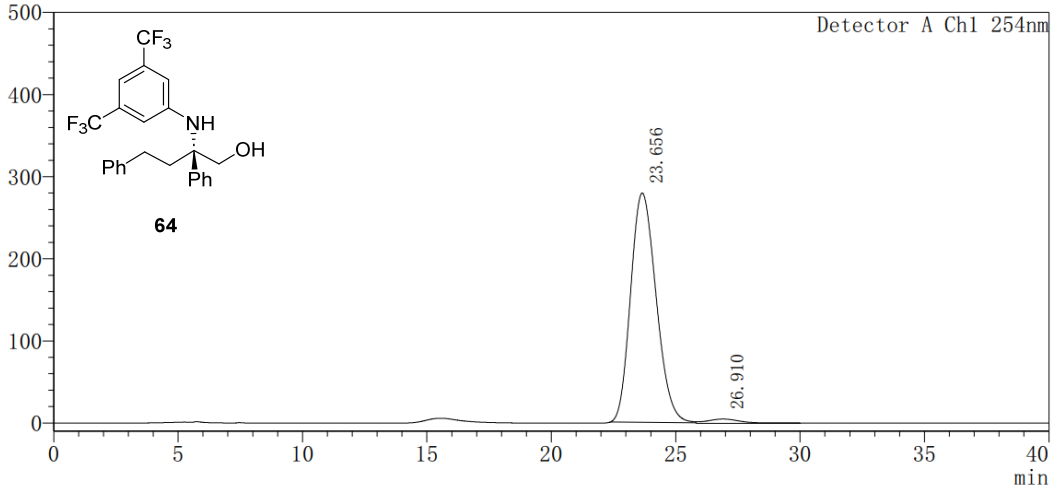


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	23.710	10557138	48.463
2	26.894	11226983	51.537

mV

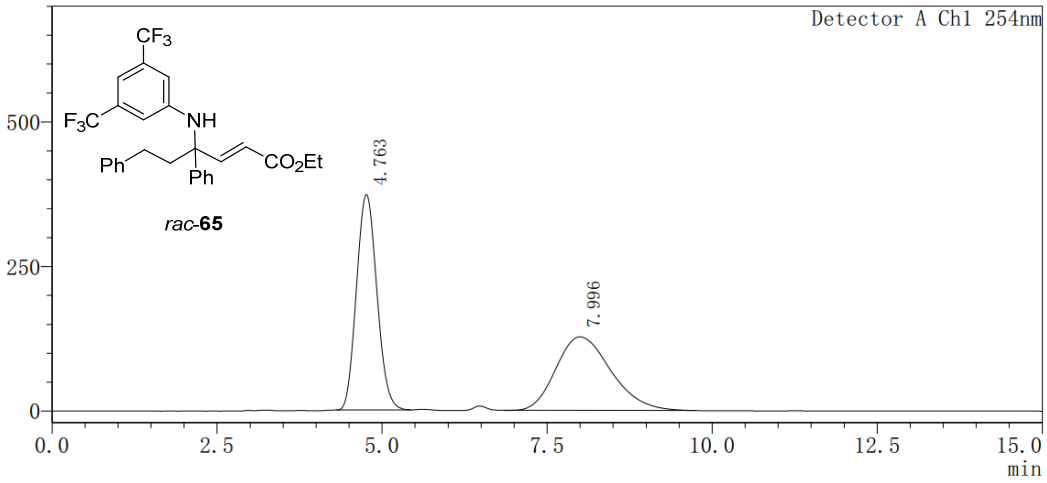


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	23.656	19892557	97.557
2	26.910	498146	2.443

mV

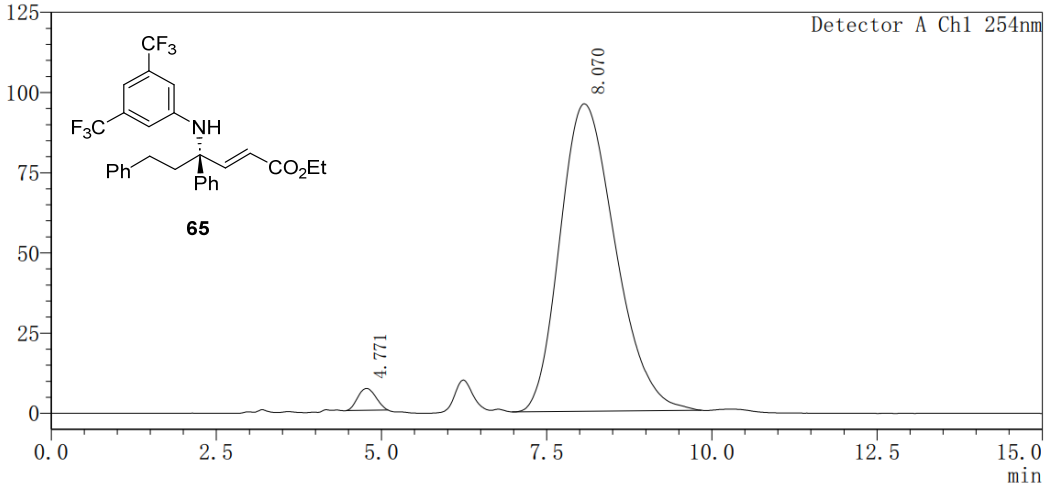


Peak Table

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	4.763	8039366	52.114
2	7.996	7387007	47.886

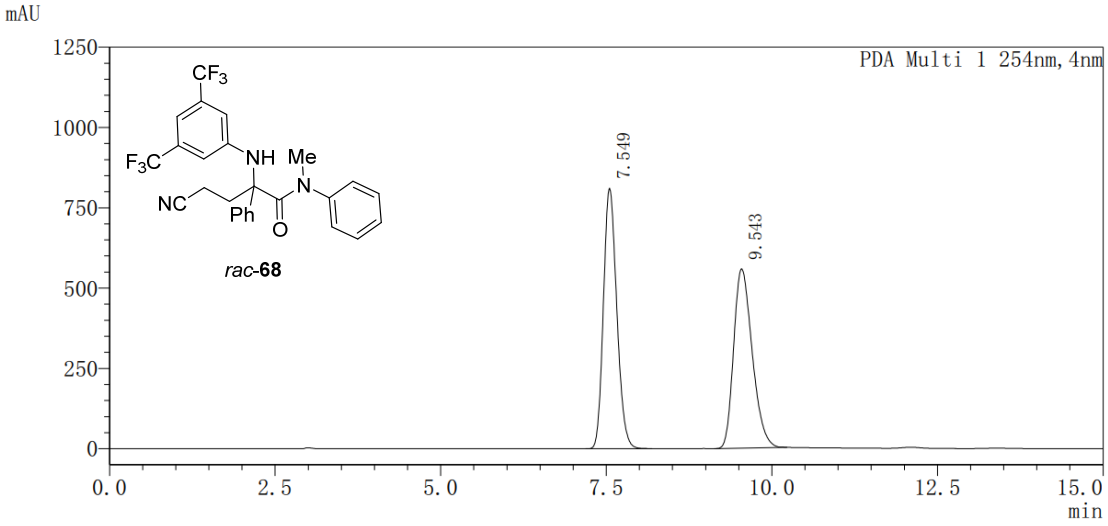
mV



Peak Table

Detector A Ch1 254nm

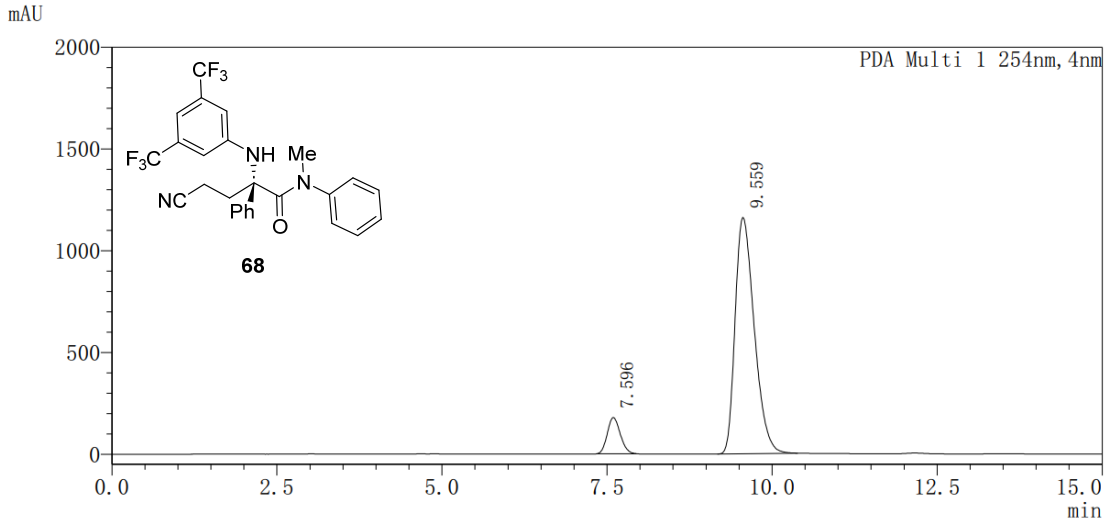
Peak#	Ret. Time	Area	Area%
1	4.771	130976	2.288
2	8.070	5592844	97.712



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.549	11396622	51.124
2	9.543	10895279	48.876



Peak Table

PDA Ch1 254nm

Peak#	Ret. Time	Area	Area%
1	7.596	2437977	9.423
2	9.559	23434591	90.577