Electronic Supplementary Information

Cyclometallated chiral Ru complexes with a single labile coordination site for asymmetric reduction of aminoketones

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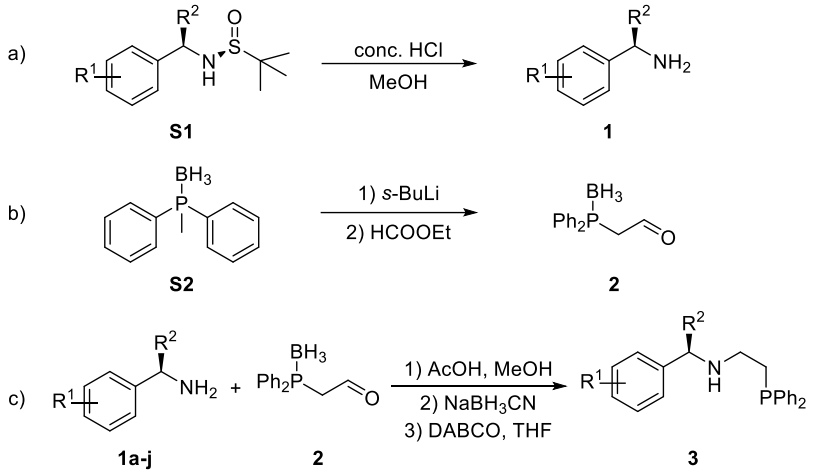
1. General information

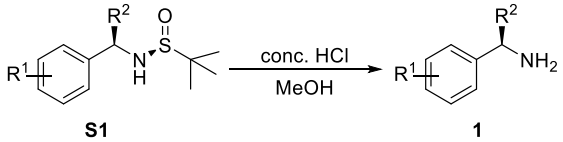
Unless otherwise specified, all reagents were obtained commercially and used without further purification. THF, MeOH was purchased from *Energy Chemical*, and used without further purification. Analytical thin-layer chromatography (TLC) was conducted with TLC plates (Silica gel 60 F254, Qingdao Haiyang). Flash column chromatography was performed on silica gel of 200-300 mesh. ^{1}H NMR spectra were recorded on a Bruker Advance 400 MHz NMR spectrometer and reported in units of parts per million (ppm) relative to tetramethyl silane (δ 0 ppm) or $CDCl_3$ (δ 7.26 ppm). Multiplicities are given as: brs (broad singlet), s (singlet), d (doublet), t (triplet), q (quartet), dd (doublets of doublet), dt (doublets of triplet), td (triplets of doublet), ddd (doublets of doublet of doublet), or m (multiplet). Coupling constants were reported as *J* value in Hz. ^{13}C NMR spectra was recorded on a Bruker Advance 400 NMR spectrometer with an operating frequency of 100 MHz and reported in ppm relative to tetramethyl silane (δ 0 ppm) or $CDCl_3$ (δ 77.06 ppm). HRMS data was recorded on a Bruker UHR-TOF mass spectrometer.

2. Synthesis of the CNP ligands



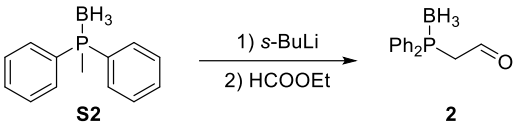
The synthesis of the **S1**[1], **S2**[2] was according to the procedures in the literature.

2.1 Synthesis of the compound 1



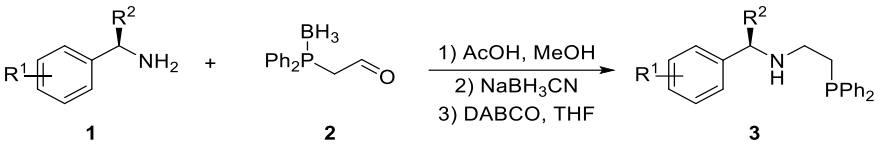
To a solution of the compound **S1** (10 mmol) dissolved in MeOH (25 mL), conc. HCl (30 mmol, 3 mL) was added dropwisely at 25 °C. The mixture was stirred for an additional 3 h and then diluted with water. The pH was adjusted to 14 by the addition of 50% aqueous NaOH solution, with cooling, and the resulting solution was extracted with DCM. The combined organic phases were washed with brine and concentrated under reduced pressure. The product was purified by flash chromatography (PE/EA, 5/1) to obtain product **1** (PE = Petroleum ether, EA = ethyl acetate, DCM = Dichloromethane).

2.2 Synthesis of the compound 2



To a solution of the compound **S2** (5 mmol, 1.07 g) dissolved in dry THF (20 mL), *s*-BuLi (6.5 mmol, 5 mL, 1.3M in hexane) was added dropwisely at -78 °C under argon. The mixture was stirred for an additional 1 h at -78 °C. After the addition of ethyl formate (HCOOEt, 7.5 mmol, 555.6 mg), the reaction mixture was stirred at -78 °C for 2 h. Subsequently, the reaction was quenched by the addition of water (1 mL), extracted with ethyl acetate (3 × 20 mL) and the combined organic layers were dried over anhydrous Na_2SO_4 and concentrated under vacuum. The residue was purified by column chromatography on silica gel (PE/DCM = 3/1) to yield 1.03 g (85 % yield) of **2** as a yellow oil.

2.3 Synthesis of the CNP ligand 3

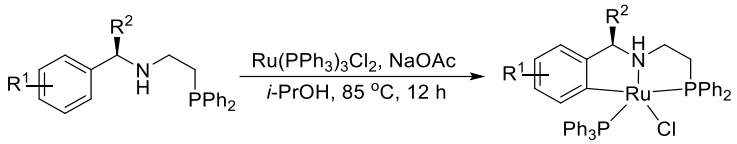


1 **2** **3**

A suspension of **1** (1.2 mmol), **2** (1 mmol, 242.10 mg) and acetic acid (1.3 mmol, 78.07 mg) in degassed MeOH (5 mL) was heated at 75 °C and stirred for 3 h under argon. Once cooled to room temperature, $NaBH_3CN$ (6 mmol, 377.04 mg) was added and the reaction mixture was stirred at 45 °C overnight. The reaction was quenched by the addition of water (10 mL), extracted with DCM (3 × 5 mL), the combined organic layers were dried over anhydrous Na_2SO_4 and concentrated under vacuum. Then the residue was redissolved in degassed tetrahydrofuran (THF, 10 mL) and triethylenediamine (DABCO, 2 mmol, 224.36 mg) was added under argon. The reaction mixture was heated at 55 °C and stirred for 6 h. After cooling to room temperature, the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica gel (PE/EA, 50/1) to obtain product **3**.

3. Synthesis of the Ru complexes

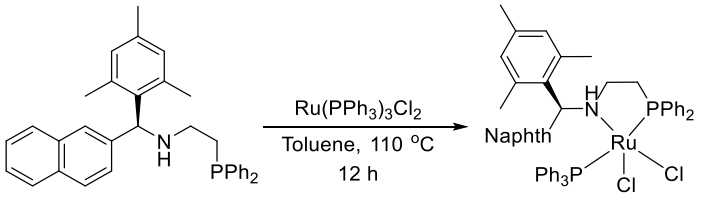
3.1 Synthesis of the Ru-CNP complexes



3 **4**

A suspension of the CNP ligand **3** (0.11 mmol), NaOAc (1 mmol) and $Ru(PPh_3)_3Cl_2$ (0.1 mmol, 95.88 mg) in degassed *i*-PrOH (IPA, 5 mL) was stirred at 85 °C for 12 h under argon. The mixture was cooled to room temperature and filtered through Celite; the filtrate was concentrated under vacuum. The residue was purified by flash chromatography on silica gel (PE/EA, 3/1) to obtain compound **4** as a yellow powder.

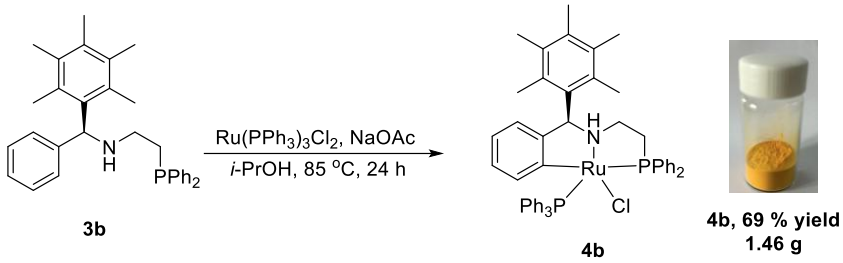
3.2 Synthesis of 4k



3j **4k**

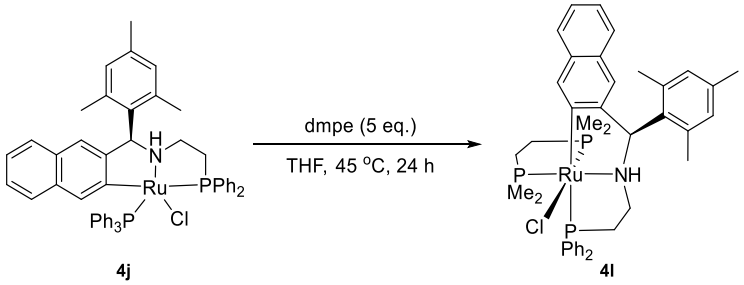
A suspension of the CNP ligand **3j** (0.11 mmol) and $Ru(PPh_3)_3Cl_2$ (0.1 mmol, 95.88 mg) in degassed toluene (5 mL) was stirred at 110 °C for 12 h under argon. The mixture was cooled to room temperature and concentrated under vacuum to afford an oil mixture. The product was precipitated by the addition of *n*-hexane, collected by filtration and washed with *n*-hexane to give a green powder.

3.3 Large-scale synthesis of Ru-CNP complexes



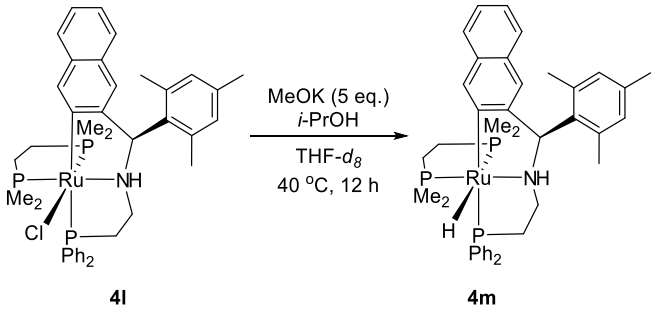
A suspension of the CNP ligand **3b** (2.7 mmol, 1.25 g), NaOAc (27 mmol, 2.22 g) and $Ru(PPh_3)_3Cl_2$ (2.45 mmol, 2.35 g) in degassed *i*-PrOH (25 mL) was heated at 85 °C and stirred for 24 h under argon. The mixture was cooled to room temperature and filtered through Celite; the filtrate was concentrated under vacuum to afford an oil mixture. The product was precipitated by the addition of *n*-hexane, collected by filtration and washed with a mixture of *n*-hexane/Et_2O (10/1, Et_2O = Ethyl ether) to yield a yellow powder (69% yield, 1.46 g).

3.4 Synthesis of 4l



In a glove box filled with N_2, a Schlenk tube (100 mL) was charged with **4k** (300 mg, 0.34 mmol), 1,2-bis(diphenylphosphino)ethane (dmpe) (1.8 mmol, 300 μL) and THF (30 mL). The tube was sealed with a Teflon screw valve and then moved out of the glove box. The reaction mixture was then stirred at 45 °C for 24 h. The mixture was cooled to room temperature and concentrated under vacuum to afford an oil mixture. The product was precipitated by the addition of *n*-hexane, collected by filtration and washed with *n*-hexane to yield a white solid powder (**4l**, 48% yield, 125 mg).

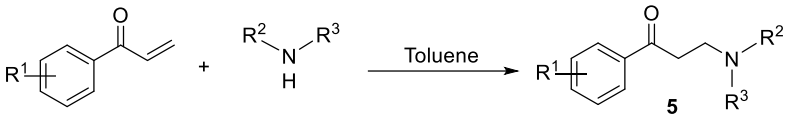
3.5 Synthesis of Ru-H of 4l



In a glove box filled with N_2, a Schlenk tube (10 mL) was charged with **4l** (10 mg, 1 eq.), MeOK (3.5 mg, 5 eq.), *i*-PrOH (0.1 mL) and THF-d_8 (0.6 mL). The tube was sealed with a Teflon screw valve and then moved out of the glove box. The reaction mixture was then stirred at 40 °C for 12 h. The tube was transferred back to the glove box, the mixture was filtered through a nylon membrane filter (0.22 μm) to remove the base and directly subjected to ^1H NMR measurement.

4. Asymmetric hydrogenation of aminoketones

4.1 Synthesis of the compound 5

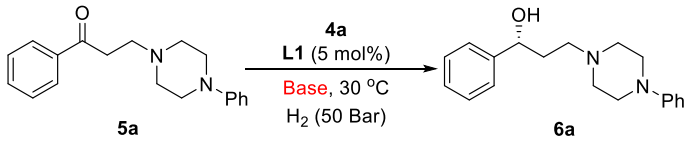


The synthesis of *α,β*-unsaturated ketone was according to the procedures in the literature.[3]

The synthesis of the compound **5**: A suspension of *α,β*-unsaturated ketone (10 mmol.) and amines (12 mmol) in toluene (20 mL) was stirred at 25 °C for 5 h. The reaction was monitored by TLC until the material disappeared. The solvent was concentrated under vacuum, the residue was purified by flash chromatography on silica gel (PE/EA, 5/1~2/1) to obtain compound **5**.

4.2 Optimization of reaction conditions for AH of aminoketones

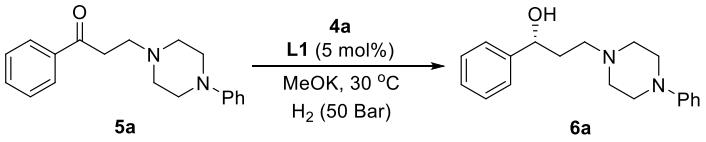
Table S1. The effect of base



Entry	Base (x mol%)	Yield (%)[a]	ee (%)[b]
1	*t*-BuOK (10)	93	97
2	EtOK (10)	72	97
3	MeOK (10)	99	97.5
4	KOH (10)	90	97
5	K_2CO_3 (10)	N.D.[c]	-
6	K_3PO_4 (10)	N.D.	-
7	MeONa (10)	94	97
8	MeOK (5)	99	97.7

General conditions: **5a** (0.2 mmol), **4a** (1 mol%), **L1** (5 mol%), base (x mol%), THF (1 mL), 50 bar H_2, 12 h. [a]Determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as an internal standard. [b]Determined by HPLC on a chiral stationary phase. [c]Not detected.

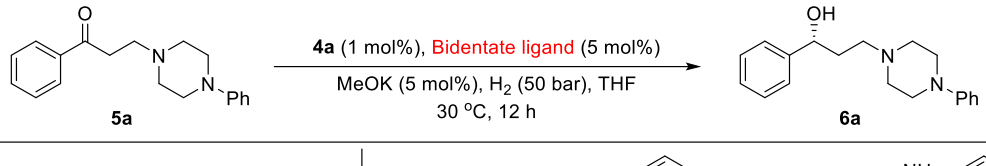
Table S2. The effect of solvent

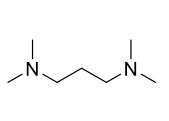


Entry	Base	Yield (%)[a]	ee (%)[b]
1	THF	99	97.7
2	DME	99	97.5
3	EtOH	27	54
4	DCE	N.D.[c]	-
5	MeOH	N.D.	-
6	Toluene	99	97
7	Cyclohexane	63	93
8	DMF	29	96

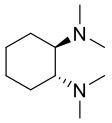
General conditions: **5a** (0.2 mmol), **4a** (1 mol%), **L1** (5 mol%), MeOK (5 mol%), solvent (1 mL), 50 bar H_2, 12 h. [a]Determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as an internal standard. [b]Determined by HPLC on a chiral stationary phase. [c]Not detected.

Table S3. The effect of bidentate ligands

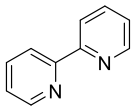




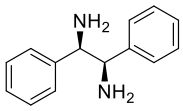
L5, 89% yield, 20% ee



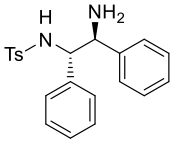
L6, 93% yield, 15% ee



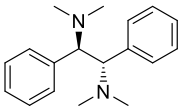
L7, 32% yield, 16% ee



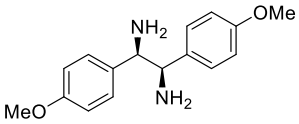
L8, 98% yield, -64% ee



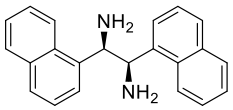
L9, 75% yield, -4% ee



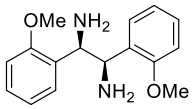
L10, 92% yield, -8% ee



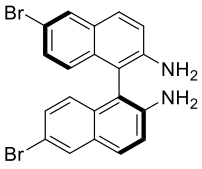
L11, 93% yield, 10% ee



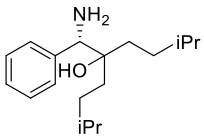
L12, 92% yield, -33% ee



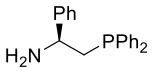
L13, 99% yield, -67% ee



L14, 90% yield, -14% ee



L15, 90% yield, 18% ee



L16, 13% yield, -17% ee

General conditions: **5a** (0.2 mmol), **4a** (1 mol%), bidentate ligand (5 mol%), MeOK (5 mol%), solvent (1 mL), 50 bar H_2, 12 h. [a]Determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as an internal standard. [b]Determined by HPLC on a chiral stationary phase. [c]Not detected.

4.3 General procedure for the hydrogenation of aminoketones

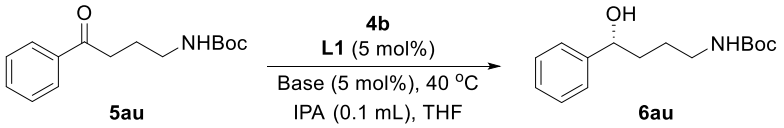
In a glove box filled with N_2, a vial (3 mL) was charged with catalyst (1 mol%), 1,2-bis(diphenylphosphino)ethane (dmpe) (5 mol%, 1 μL) and THF (1 mL). This mixture was stirred in the glove box for an additional 30 minutes. Then, the vial was further charged with MeOK (5 mol%, 0.7 mg) and aminoketone **5** (0.2 mmol). The vial was then placed into the autoclave. The autoclave was sealed and purged three times with hydrogen gas, subsequently pressurized to 50 bar and stirred at 30 °C for specific time. Afterwards, the vessel was vented carefully in a hood, and the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/EA, 5/1~3/1) to afford the chiral alcohol. The enantiomeric excess (ee) was determined by HPLC.

5. Asymmetric transfer hydrogenation of aminoketones

The synthesis of the **7** was according to the procedures in the literature[4].

5.1 Optimization of reaction conditions for ATH of aminoketones

Table S4. The effect of base and solvent



5au **6au**

Entry	Base	Solvent	Yield[a] (%)	ee[b] (%)
1	MeOK	THF	45	94
2	EtOK	THF	76	95
3	*t*-BuOK	THF	53	95
4	MeONa	THF	68	94
5	KOH	THF	trace	-
6	EtOK	DME	87	92
7	EtOK	IPA	trace	-
8	EtOK	Toluene	73	89
9	EtOK	Cyclohexane	90	91

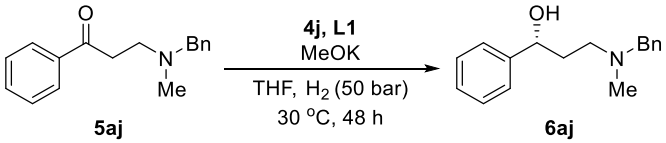
General conditions: **5au** (0.2 mmol), **4b** (1 mol%), **L1** (5 mol%), base (5 mol%), solvent (1 mL), *i*-PrOH (0.1 mL), 40 °C, 12 h. [a]Determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as an internal standard. [b]Determined by HPLC on a chiral stationary phase.

5.2 General procedure for the transfer hydrogenation of aminoketones

In a glove box filled with N_2, a plastic tube (10 mL) was charged with **4b** (1 mol%), 1,2-bis(diphenylphosphino)ethane (dmpe) (15 mol%, 3 μL) and THF (1 mL). This mixture was stirred in the glove box for an additional 30 minutes. Then, the mixture was transferred to a Schlenk tube (10 mL) that already contained EtOK (5 mol%, 0.9 mg) and aminoketone (0.2 mmol, 1 eq.). Subsequently, 0.2 mL IPA was added to the mixture. The tube was sealed with a Teflon screw valve and removed from the glove box. The reaction mixture was then stirred at 40 °C for specific time. After completion of the reaction, the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/EA, 10/1~3/1) to afford the chiral alcohol. The enantiomeric excess (ee) was determined by HPLC.

6. Gram-scale reaction and synthetic transformations

6.1 Procedure for the gram-scale synthesis of 6aj

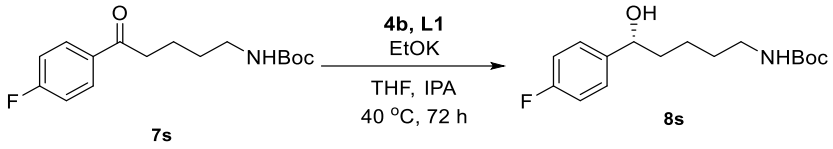


5aj **6aj**

In a glove box filled with N_2, a round flask (100 mL) was charged with **4j** (35.4 mg, 1 mol%), 1,2-bis(diphenylphosphino)ethane (dmpe) (5 mol%, 20 μL) and THF (20 mL). This mixture was stirred in the glove box for an additional 30 minutes. Then, this mixture was transferred to a Teflon tube containing MeOK (5 mol%, 14.0 mg) and aminoketone **5aj** (1.01 g, 4 mmol). The tube was placed into the autoclave. The autoclave was sealed and purged three times with hydrogen gas, then pressurized to 50 bar and stirred at 30 °C for 48 h. Afterwards, the vessel was vented carefully in a hood, and the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/EA, 5/1~3/1) to afford **6aj** (847 mg, 83% yield, 96% ee). The

enantiomeric excess (ee) was determined by HPLC.

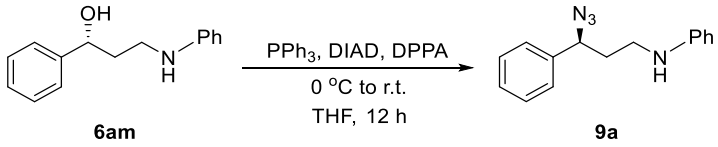
6.2 Procedure for the gram-scale synthesis of 8s



7s **8s**

In a glove box filled with N_2, a round flask (100 mL) was charged with **4b** (34.5 mg, 1 mol%), 1,2-bis(diphenylphosphino)ethane (dmpe) (15 mol%, 60 μL) and THF (20 mL). This mixture was stirred in the glove box for an additional 30 minutes. Subsequently, the mixture was transferred to the Schlenk tube (100 mL) containing EtOK (5 mol%, 18.0 mg) and aminoketone **7s** (1.18 g ,4 mmol). Then, 4.0 mL IPA was added to the mixture. The tube was sealed with a Teflon screw valve and removed from the glove box. The reaction mixture was then stirred at 40 °C for 72 h. After completion of the reaction, the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/EA, 5/1~3/1) to afford **8s** (973 mg, 82% yield, 87% ee). The enantiomeric excess (ee) was determined by HPLC.

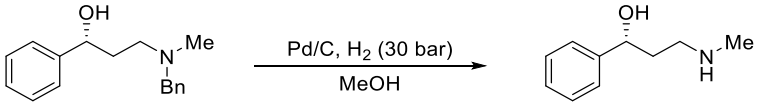
6.3 Procedure for the gram-scale synthesis of 9a



6am **9a**

An oven-dried Schlenk tube (10 mL) charged with **6am** (75.65 mg, 0.33 mmol) and PPh_3 (262.3 mg, 0.66 mmol), was placed under vacuum and purged with Ar three times. Anhydrous THF (2 mL) was added and the solution was cooled to 0 °C. Subsequently, diisopropyl azodicarboxylate (DIAD, 133.5 mg, 0.66 mmol) was added dropwisely to the solution. After stirring for 30 min at 0 °C, diphenyl azidophosphate (DPPA, 81.62 mg, 0.37 mmol) was added to the mixture. The reaction mixture was then stirred at room temperature for 12 h. Upon completion of the reaction, the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/DCM, 2/1) to afford **9a** (55% yield, 91% ee). The enantiomeric excess (ee) was determined by HPLC.

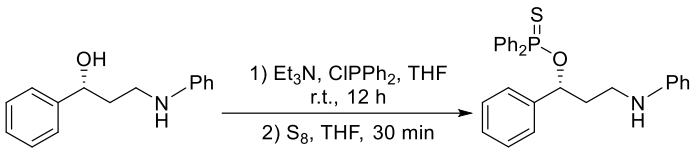
6.4 Procedure for the gram-scale synthesis of 9b



6aj **9b**

A Teflon tube (25 mL) charged with **6aj** (320 mg, 1.25 mmol), 5% Pd/C (32 mg) and MeOH (5 mL). Then, the tube was placed into the autoclave. The autoclave was sealed and purged three times with hydrogen gas, then pressurized to 30 bar and stirred at 60 °C for 16 h. Upon completion of the reaction, the vessel was vented carefully in a hood and the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (DCM/MeOH, 95/5~2/1) to afford **9b** (83%).

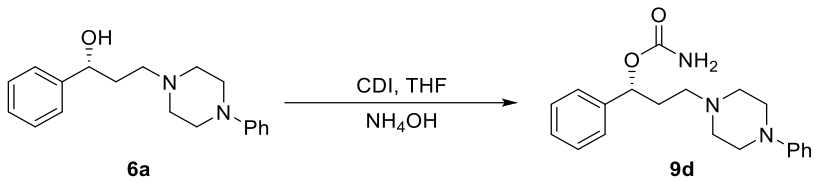
6.5 Procedure for the synthesis of 9c



6am **9c**

An oven-dried Schlenk tube (10 mL) charged with **6am** (75.65 mg, 0.33 mmol) was placed under vacuum and purged with Ar three times, anhydrous THF (2 mL) was added and the solution was cooled to 0 °C. Et_3N (37.44 mg, 0.37 mmol) was added dropwise to the solution. After stirring for 5 minutes at 0 °C, $ClPPh_2$ (81.62 mg, 0.37 mmol) was added to the mixture. The reaction mixture was then stirred at room temperature for 12 h. Subsequently, S_8 (256.52 mg, 1 mmol) was added to the mixture then stirred at room temperature for an additional 30 min. After completion of the reaction, the reaction mixture was concentrated under vacuum. The residue was purified by flash chromatography on silica (PE/DCM, 2/1) to afford **9c** (62% yield, 94% ee). The enantiomeric excess (ee) was determined by HPLC.

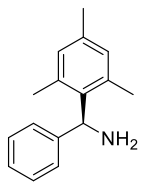
6.6 Procedure for the gram-scale synthesis of 9d



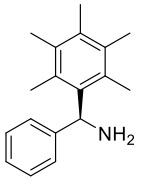
6a **9d**

An oven-dried Schlenk tube (10 mL) charged with **6a** (64 mg, 0.216 mmol) and *N,N*-carbonyldiimidazole (CDI, 42.1 mg, 0.26 mmol) was placed under vacuum and purged with Ar three times, anhydrous THF (2 mL) was added. After stirring for 1 h at room temperature, NH_4OH (0.1 mL) was added to the mixture. The reaction mixture was then stirred at room temperature for an additional 12 h. Subsequently, the reaction was quenched by the addition of water, extracted with EA and the combined organic layers were dried over anhydrous Na_2SO_4 and concentrated under vacuum. The residue was purified by flash chromatography on silica (EA) to afford **9d** (82% yield, 98% ee). The enantiomeric excess (ee) was determined by HPLC.

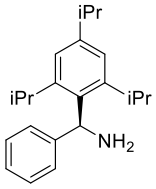
7. Analytic data of products



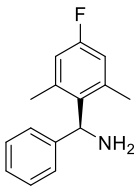
(*S*)-Mesityl(phenyl)methanamine (1a): white solid, 88% yield. $[\alpha]_D^{22}$ = -132.2 (*c* = 2.90, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.31-7.25 (m, 4H), 7.20-7.17 (m, 1H), 6.84 (s, 2H), 5.63 (s, 1H), 2.27 (s, 3H), 2.19 (s, 6H), 1.75 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.9, 139.2, 136.44, 136.43, 130.2, 128.2, 125.93, 125.88, 53.4, 20.82, 20.80.



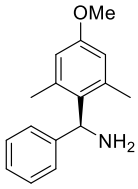
(*S*)-(2,3,4,5,6-Pentamethylphenyl)(phenyl)methanamine (1b): white solid, 70% yield. $[\alpha]_D^{22}$ = -129.8 (*c* = 2.10, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.25-7.16 (m, 4H), 7.12-7.08 (m, 1H), 5.66 (s, 1H), 2.19 (s, 3H), 2.14 (s, 6H), 2.07 (s, 6H), 1.78 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 145.9, 140.0, 133.9, 133.4, 132.1, 128.2, 125.8, 125.7, 54.0, 17.5, 17.1, 16.9.



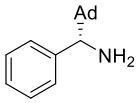
(*S*)-Phenyl(2,4,6-triisopropylphenyl)methanamine (1c): white solid, 87% yield. $[\alpha]_D^{22}$ = -70.6 (*c* = 1.24, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.25-7.18 (m, 4H), 7.11-7.07 (m, 1H), 6.94 (s, 2H), 5.64 (s, 1H), 3.08-2.78 (m, 3H), 1.75 (brs, 2H), 1.20-1.12 (m, 18H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 147.5, 146.4, 137.6, 128.0, 125.9, 125.7, 51.5, 34.1, 29.9, 24.7, 24.0.



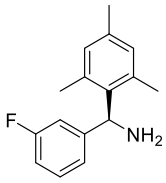
(*S*)-(4-Fluoro-2,6-dimethylphenyl)(phenyl)methanamine (1d): yellow solid, 77% yield. $[\alpha]_D^{22}$ = -138.2 (*c* = 5.00, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.31-7.25 (m, 4H), 7.22-7.18 (m, 1H), 6.72 (d, *J* = 9.6 Hz, 2H), 5.63 (s, 1H), 2.22 (s, 6H), 1.88 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 161.2 (d, $^1J_{C\text{-}F}$ = 243.0 Hz), 144.5, 139.0 (d, $^3J_{C\text{-}F}$ = 7.9 Hz), 137.7 (d, $^4J_{C\text{-}F}$ = 3.3 Hz), 128.3, 126.1, 125.8, 115.7 (d, $^2J_{C\text{-}F}$ = 20.3 Hz), 53.0, 21.0 (d, $^4J_{C\text{-}F}$ = 1.2 Hz).



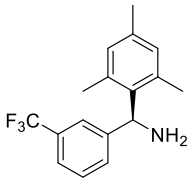
(*S*)-(4-Methoxy-2,6-dimethylphenyl)(phenyl)methanamine (1e): white solid, 86% yield. $[\alpha]_D^{22}$ = -135.4 (*c* = 2.21, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.30-7.24 (m, 4H), 7.19-7.14 (m, 1H), 6.56 (s, 2H), 5.59 (s, 1H), 3.74 (s, 3H), 2.19 (s, 6H), 1.81 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 157.8, 145.0, 138.0, 134.5, 128.0, 125.8, 125.7, 114.4, 54.9, 52.9, 21.1.



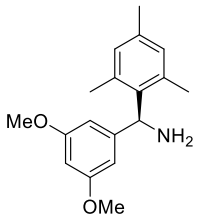
(*S*)-((1*S*,3*R*,5*S*,7*S*)-Adamantan-1-yl)(phenyl)methanamine (1f): white solid, 75% yield. $[\alpha]_D^{22}$ = -6.3 (*c* = 1.02, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.24-7.14 (m, 5H), 3.43 (s, 1H), 1.88 (s, 3H), 1.60-1.48 (m, 9H), 1.41-1.38 (s, 5H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm):142.9, 128.5, 127.4, 126.7, 66.1, 38.7, 37.1, 36.5, 28.5.



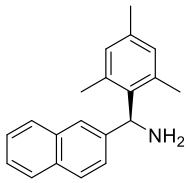
(*S*)-(3-Fluorophenyl)(mesityl)methanamine (1g): yellow solid, 82% yield. $[\alpha]_D^{22}$ = -135.8 (*c* = 1.78, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.25-7.20 (m, 1H), 7.09-7.03 (m, 2H), 6.90-6.84 (m, 3H), 5.58 (s, 1H), 2.27 (s, 3H), 2.19 (s, 6H), 1.71 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 163.1 (d, $^1J_{C\text{-}F}$ = 243.5 Hz), 148.1 (d, $^3J_{C\text{-}F}$ = 6.6 Hz), 138.7, 136.7, 136.3, 130.3, 129.6 (d, $^3J_{C\text{-}F}$ = 8.1 Hz), 121.6 (d, $^4J_{C\text{-}F}$ = 2.7 Hz), 113.1 (d, $^2J_{C\text{-}F}$ = 22.1 Hz), 112.8 (d, $^2J_{C\text{-}F}$ = 21.1 Hz), 53.1 (d, $^4J_{C\text{-}F}$ = 1.4 Hz), 20.8, 20.7.



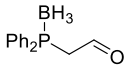
(*S*)-Mesityl(3-(trifluoromethyl)phenyl)methanamine (1h): yellow solid, 80% yield. $[\alpha]_D^{22}$ = -118.6 (*c* = 1.59, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.76 (s, 1H), 7.49-7.36 (m, 3H), 6.88 (s, 2H), 5.65 (s, 1H), 2.30 (s, 3H), 2.20 (s, 6H), 1.83 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 146.3, 138.4, 136.8, 136.2, 130.5 (q, $^2J_{C\text{-}F}$ = 31.7 Hz), 130.3, 129.5, 128.5, 124.4 (q, $^1J_{C\text{-}F}$ = 270.8 Hz), 122.8 (q, $^3J_{C\text{-}F}$ = 3.7 Hz), 122.7 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 53.1, 20.80, 20.76.



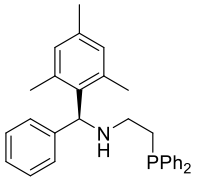
(*S*)-(3,5-Dimethoxyphenyl)(mesityl)methanamine (1i): yellow solid, 75% yield. $[\alpha]_D^{22}$ = -112.3 (*c* = 3.00, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 M Hz) δ (ppm): 6.82 (s, 2H), 6.49 (s, 2H), 6.31 (s, 1H), 5.53 (s, 1H), 3.7 5 (s, 6H), 2.26 (s, 3H), 2.21 (s, 6H), 1.75 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 160.8, 147.8, 138.9, 136.3, 130.1, 104.3, 97.6, 55. 3, 53.4, 20.80, 20.76.



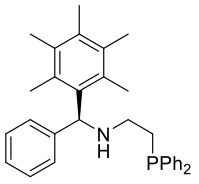
(*S*)-Mesityl(naphthalen-2-yl)methanamine (1j): white solid, 89% yield. $[\alpha]_D^{22}$ = -227.6 (*c* = 1.12, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (p pm): 7.86-7.76 (m, 4H), 7.49-7.33 (m, 3H), 6.83 (s, 2H), 6.10 (s, 1H), 2. 26 (s, 3H), 2.22 (s, 6H), 1.83 (brs, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 140.4, 138.4, 136.4, 133.9, 131.2, 130.6, 128.8, 127.4, 125.8, 1 25.3, 125.2, 124.4, 123.9, 52.9, 21.3, 20.8.



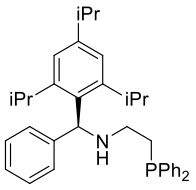
(2): yellow oil, 85% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 9.73 (t, *J* = 3.2 Hz, 1H), 7.70-7.65 (m, 4H), 7.56-7.46 (m, 6H), 3.42 (dd, *J* = 12.8, 3. 2 Hz, 2H), 1.43-0.79 (br, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 195.0, 132.2 (d, *J* = 9.8 Hz), 132.0 (d, *J* = 2.6 Hz), 129.2 (d, *J* = 10.3 Hz), 127.6 (d, *J* = 55. 2 Hz), 41.8 (d, *J* = 27.5 Hz); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 12.5 (d, *J* = 51.0 Hz).



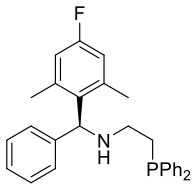
(*S*)-2-(Diphenylphosphanyl)-*N*-(mesityl(phenyl)methyl)ethan-1-amine (3a): yellow oil, 65% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.25 (m, 4H), 7.22-7.19 (m, 6H), 7.16-7.11 (m, 4H), 7.07-7.05 (m, 1H), 6.71 (s, 2H), 5.23 (s, 1H), 2.80-2.64 (m, 2H), 2.32-2.19 (m, 2H), 2.17 (s, 3H), 2.07 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 143.6, 138.6 (d, *J* = 12.5 Hz), 137.0, 136.9, 136.2, 132.8 (d, *J* = 10.7 Hz), 132.6 (d, *J* = 10.3 Hz), 130.1, 128.5 (d, *J* = 3.3 Hz), 128.44 (d, *J* = 2.7 Hz), 128.37 (d, *J* = 3.3 Hz), 128.0, 126.5, 125.9, 60.3, 45.2 (d, *J* = 18.9 Hz), 29.7 (d, *J* = 12.4 Hz), 21.0, 20.8; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.2. **HRMS (ESI)** *m/z* calc. for $C_{30}H_{33}NP$ $[M+H]^+$: 438.2345, found: 438.2339.



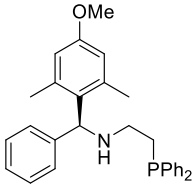
(*S*)-2-(Diphenylphosphanyl)-*N*-((2,3,4,5,6-pentamethylphenyl)(phenyl)met hyl)ethan-1-amine (3b): yellow oil, 60% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.13 (m, 14H), 7.06 (t, *J* = 7.0 Hz, 1H), 5.33 (s, 1H), 2.79-2.68 (m, 2H), 2.28-2.13 (m, 5H), 2.11 (s, 6H), 2.02 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.9, 138.7 (d, *J* = 13.2 Hz), 1 38.1, 133.7, 132.8 (d, *J* = 15.0 Hz), 132.7 (d, *J* = 14.7 Hz), 132.5, 12 8.5 (d, *J* = 5.2 Hz), 128.42 (d, *J* = 4.1 Hz), 128.35 (d, *J* = 4.4 Hz), 128.0, 126.4, 125. 7, 61.1, 45.6 (d, *J* = 19.0 Hz), 29.7 (d, *J* = 12.1 Hz), 17.6, 17.2, 16.9. **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.4; **HRMS (ESI)** *m/z* calc. for $C_{32}H_{37}NP$ $[M+H]^+$: 466.2658, found: 466.2652.



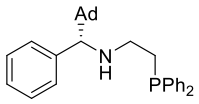
(*S*)-2-(Diphenylphosphanyl)-*N*-(phenyl(2,4,6-triisopropylphenyl)methyl)ethan-1-amine (3c): yellow oil, 54% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.33-7.11 (m, 14H), 7.04 (t, *J* = 6.4 Hz, 1H), 6.89 (s, 2H), 5.25 (s, 1H), 3.15 (s, 2H), 2.82-2.76 (m, 3H), 2.37-2.30 (m, 1H), 2.21-2.14 (m, 1H), 1.19-1.10 (m, 18H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 147.4, 145.4, 138.8 (d, *J* = 2.9 Hz), 138.6 (d, *J* = 2.1 Hz), 135.7, 132.8 (d, *J* = 9.4 Hz), 132.7 (d, *J* = 9.2 Hz), 128.6 (d, *J* = 5.8 Hz), 128.5 (d, *J* = 1.2 Hz), 128.4 (d, *J* = 1.1 Hz), 127.8, 126.5, 125.5, 59.0, 46.3 (d, *J* = 19.8 Hz), 34.0, 29.8 (d, *J* = 12.3 Hz), 29.6, 24.8, 24.0; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.3. **HRMS (ESI)** *m/z* calc. for $C_{36}H_{45}NP$ $[M+H]^+$: 522.3284, found: 522.3289.



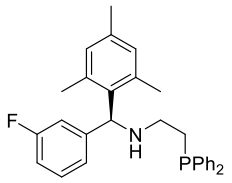
(*S*)-2-(Diphenylphosphanyl)-*N*-((4-fluoro-2,6-dimethylphenyl)(phenyl)methyl)ethan-1-amine (3d): yellow oil, 70% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.19 (m, 10H), 7.16-7.06 (m, 5H), 6.59 (d, *J* = 9.6 Hz, 2H), 5.21 (s, 1H), 2.80-2.63 (m, 2H), 2.30-2.18 (m, 2H), 2.09 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 161.1 (d, *J* = 242.9 Hz), 143.1, 139.5 (d, *J* = 7.9 Hz), 138.5 (dd, *J* = 12.1, 5.0 Hz), 135.7 (d, *J* = 3.0 Hz), 132.7 (dd, *J* = 18.8, 10.8 Hz), 128.6 (d, *J* = 5.2 Hz), 128.4 (dd, *J* = 6.6, 1.4 Hz), 128.1, 126.4, 126.1, 115.6 (d, *J* = 20.0 Hz), 60.0, 45.1 (d, *J* = 18.5 Hz), 29.6 (d, *J* = 12.4 Hz), 21.2. **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.3. **HRMS (ESI)** *m/z* calc. for $C_{29}H_{30}FNP$ $[M+H]^+$: 442.2094, found: 442.2096.



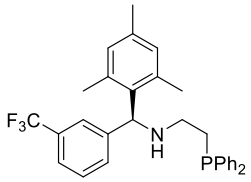
(*S*)-2-(Diphenylphosphanyl)-*N*-((4-methoxy-2,6-dimethylphenyl)(phenyl)methyl)ethan-1-amine (3e): yellow oil, 65% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.04 (m, 15H), 6.44 (s, 2H), 5.19 (s, 1H), 3.67 (s, 3H), 2.80-2.64 (m, 2H), 2.30-2.16 (m, 2H), 2.09 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 157.9, 143.7, 138.6 (d, *J* = 12.5 Hz), 138.5, 132.8 (d, *J* = 10.0 Hz), 132.6 (d, *J* = 10.0 Hz), 132.4, 128.5 (d, *J* = 3.8 Hz), 128.44 (d, *J* = 2.1 Hz), 128.37 (d, *J* = 2.2 Hz), 128.0, 126.5, 125.9, 114.4, 60.0, 55.0, 45.2 (d, *J* = 18.7 Hz), 29.7 (d, *J* = 12.1 Hz), 21.4; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.2. **HRMS (ESI)** *m/z* calc. for $C_{30}H_{33}NOP$ $[M+H]^+$: 454.2294, found: 454.2297.



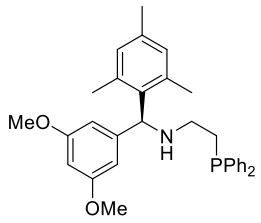
***N*-((*S*)-((1r,3*R*,5*R*,7*S*)-Adamantan-1-yl)(phenyl)methyl)-2-(diphenylphosphanyl)ethan-1-amine (3f):** yellow oil, 45% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.28-7.07 (m, 15H), 3.05 (s, 1H), 2.55-2.33 (m, 2H), 2.20-2.05 (m, 2H), 1.81 (s, 3H), 1.55-1.42 (m, 10H), 1.27 (d, *J* = 12.0 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 140.6, 138.9 (d, *J* = 12.5 Hz), 138.6 (d, *J* = 12.6 Hz), 132.8 (d, *J* = 13.7 Hz), 132.6 (d, *J* = 13.3 Hz), 129.2, 128.5, 128.4, 128.3, 127.3, 126.6, 73.3, 44.9 (d, *J* = 18.3 Hz), 39.3, 37.1, 36.4, 29.1 (d, *J* = 12.3 Hz), 28.6; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.2. **HRMS (ESI)** *m/z* calc. for $C_{31}H_{37}NP$ $[M+H]^+$: 454.2658, found: 454.2655.



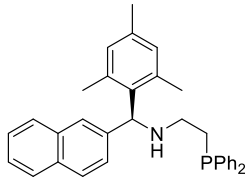
(*S*)-2-(Diphenylphosphanyl)-*N*-((3-fluorophenyl)(mesityl)methyl)ethan-1-amine (3g): yellow oil, 72% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.48-7.32 (m, 10H), 7.19 (q, *J* = 8.0 Hz, 1H), 7.06 (d, *J* = 1 0.8 Hz, 1H), 6.99 (d, *J* = 7.6 Hz, 1H), 6.89-6.84 (m, 3H), 5.29 (s, 1 H), 2.91-2.77 (m, 2H), 2.42-2.27 (m, 5H), 2.19 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 163.0 (d, *J* = 243.1 Hz), 146.8 (d, *J* = 6.6 Hz), 138.6 (dd, *J* = 11.9, 1.9 Hz), 136.8, 136.6, 136.5, 132.8 (d, *J* = 12.6 Hz), 132.6 (d, *J* = 12.6 Hz), 130.2, 129.4 (d, *J* = 8.1 Hz), 128.6 (d, *J* = 4.5 Hz), 128.4 (dd, *J* = 6.5, 1.3 Hz), 122.1 (d, *J* = 2.6 Hz), 113.6 (d, *J* = 22.2 Hz), 112.8 (d, *J* = 21.1 Hz), 60.0, 45.3 (d, *J* = 18. 8 Hz), 29.6 (d, *J* = 12.4 Hz), 20.9, 20.8; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.2. **HRMS (ESI)** *m/z* calc. for $C_{30}H_{32}FNP$ $[M+H]^+$: 456.2251, found: 456.2242.



(*S*)-2-(Diphenylphosphanyl)-*N*-(mesityl(3-(trifluoromethyl)phenyl)methyl)ethan-1-amine (3h): yellow oil, 75% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.82 (s, 1H), 7.56-7.39 (m, 13H), 6.93 (s, 2H), 5.41 (s, 1H), 3.02-2.89 (m, 2H), 2.53-2.37 (m, 5H), 2.26 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 145.0, 138.6 (d, *J* = 7.6 H z), 138.5 (d, *J* = 8.5 Hz), 136.7, 136.3, 132.8 (d, *J* = 17.7 Hz), 1 32.6 (d, *J* = 17.2 Hz), 130.30 (q, *J* = 31.6 Hz), 130.26, 130.1, 128.6 (d, *J* = 7.8 Hz), 1 28.4 (d, *J* = 6.7 Hz), 128.36, 124.4 (q, *J* = 270.6 Hz), 123.3 (q, *J* = 3.7 Hz), 122.9 (q, *J* = 3.7 Hz), 60.1, 45.4 (d, *J* = 19.0 Hz), 29.6 (d, *J* = 12.4 Hz), 20.9, 20.8; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.2. **HRMS (ESI)** *m/z* calc. for $C_{31}H_{32}F_3NP$ $[M+H]^+$: 506.2 219, found: 506.2219.

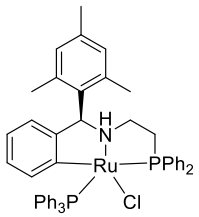


(*S*)-*N*-((3,5-Dimethoxyphenyl)(mesityl)methyl)-2-(diphenylphosphanyl)ethan-1-amine (3i): yellow oil, 71% yield. **^{1}H NMR** ($CDCl_3$, 40 0 MHz) δ (ppm): 7.32-7.17 (m, 10H), 6.70 (s, 2H), 6.41 (s, 2H), 6.20 (s, 1H), 5.14 (s, 1H), 3.64 (s, 6H), 2.79-2.64 (m, 2H), 2.33-2. 17 (m, 5H), 2.09 (s, 1H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 160.6, 146.6, 138.7 (d, *J* = 8.4 Hz), 138.6 (d, *J* = 9.8 Hz), 136.8, 136.2, 132.8 (d, *J* = 19.3 Hz), 132.6 (d, *J* = 19.0 Hz), 130.1, 128.5 (d, *J* = 8.1 Hz), 1 28.5 (d, *J* = 0.9 Hz), 128.4 (d, *J* = 1.4 Hz), 105.0, 97.7, 60.4, 55.3, 45.3 (d, *J* = 19.4 Hz), 29.7 (d, *J* = 12.3 Hz), 21.0, 20.8; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): -20.4. **HR MS (ESI)** *m/z* calc. for $C_{32}H_{37}NO_2P$ $[M+H]^+$: 498.2556, found: 498.2557.

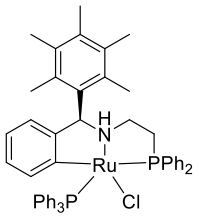


(*S*)-2-(Diphenylphosphanyl)-*N*-(mesityl(naphthalen-2-yl)methyl)ethan-1-amine (3j): yellow oil, 68% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.70-7.68 (m, 3H), 7.58 (d, *J* = 5.6 Hz, 1H), 7.36-7.16 (m, 13H), 6.74 (s, 2H), 5.38 (s, 1H), 2.86-2.72 (m, 2H), 2.35-2.23 (m, 2H), 2.20 (s, 3H), 2.11 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 141.1, 138.7 (d, *J* = 7.9 Hz), 137.0, 136.7, 136.4, 133.3, 132.8 (d, *J* = 12.2 Hz), 132.7 (d, *J* = 11.9 Hz), 132.2, 130.2, 128.6 (d, *J* = 3.6 Hz), 12 8.48 (d, *J* = 2.6 Hz), 128.43 (d, *J* = 2.7 Hz), 128.0, 127.6, 127.5, 125.8, 125.5, 125.3, 1 24.6, 60.5, 45.3 (d, *J* = 12.7 Hz), 29.7 (d, *J* = 8.1 Hz), 21.1, 20.9; **^{31}P NMR** ($CDCl_3$, 1

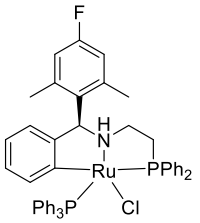
62 MHz) δ (ppm): -20.3. **HRMS (ESI)** *m/z* calc. for $C_{34}H_{35}NP$ $[M+H]^+$: 488.2501, found: 488.2496.



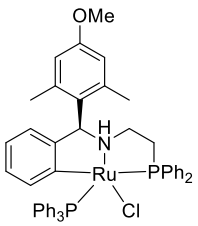
4a: yellow solid, 51% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.51-7.36 (m, 2H), 7.28-7.16 (m, 7H), 7.09-6.87 (m, 17H), 6.55-6.51 (m, 3H), 6.43 (t, *J* = 7.2 Hz, 1H), 6.10 (d, *J* = 7.2 Hz, 1H), 5.25 (d, *J* = 9.0 Hz, 1H), 4.79 (brs, 1H), 3.47-3.33 (m, 2H), 2.97-2.90 (m, 1H), 2.57-2.46 (m, 1H), 2.30 (s, 3H), 2.22 (s, 3H), 2.18 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 185.5, 183.8, 154.3, 146.1 (d, *J* = 5.5 Hz), 140.8, 140.4, 139.2, 138.8, 138.0, 137.6, 137.3, 136.4, 134.7 (d, *J* = 9.7 Hz), 133.4 (d, *J* = 10.1 Hz), 132.9 (d, *J* = 8.9 Hz), 131.7 (d, *J* = 11.9 Hz), 131.6, 129.1, 128.7, 128.0, 127.8 (dd, *J* = 9.0, 4.2 Hz), 127.24, 127.19, 127.1, 125.9, 123.6, 119.7 (d, *J* = 4.9 Hz), 65.7, 60.5, 49.2, 47.1, 37.8 (d, *J* = 24.3 Hz), 35.5, 27.0, 26.5, 25.6, 23.8, 21.9, 21.3 (d, *J* = 28.2 Hz), 20.9, 20.6 (d, *J* = 43.9 Hz); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.7 (d, *J* = 29.5 Hz), 58.0 (d, *J* = 30.0 Hz). **HRMS (ESI)** *m/z* calc. for $C_{48}H_{46}NP_2Ru$ $[M-Cl]^+$: 800.2157, found: 800.2153.



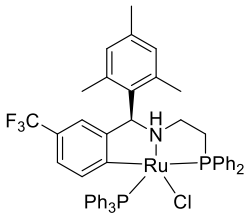
4b: yellow solid, 69% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.65-6.87 (m, 24H), 6.53 (t, *J* = 8.0 Hz, 3H), 6.43 (t, *J* = 7.4 Hz, 1H), 6.11 (d, *J* = 7.3 Hz, 1H), 5.98 (brs, 1H), 5.37 (d, *J* = 9.2 Hz, 1H), 4.78-4.76 (m, 1H), 3.42-3.26 (m, 2H), 2.98-2.90 (m, 1H), 2.57-2.45 (m, 1H), 2.29 (s, 3H), 2.26 (s, 3H), 2.21 (s, 3H), 2.19 (s, 3H), 2.09 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 183.7, 165.8 (dd, *J* = 14.5, 8.7 Hz), 155.4, 146.1 (d, *J* = 5.5 Hz), 140.7 (d, *J* = 43.8 Hz), 139.1 (d, *J* = 35.3 Hz), 137.0, 135.0 (d, *J* = 35.1 Hz), 133.8 (d, *J* = 19.2 Hz), 133.5 (d, *J* = 10.7 Hz), 133.3 (d, *J* = 16.6 Hz), 132.2, 131.7 (d, *J* = 8.8 Hz), 129.2, 128.8, 128.5 (d, *J* = 6.7 Hz), 128.0 127.8 (dd, *J* = 8.9, 2.9 Hz), 123.4, 119.70, 119.66, 66.6, 49.0, 38.0 (d, *J* = 25.0 Hz), 25.4, 23.8, 19.5, 17.3 (d, *J* = 20.8 Hz), 17.2, 16.6; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.8 (d, *J* = 29.5 Hz), 58.0 (d, *J* = 29.5 Hz). Anal. Calcd for $C_{50}H_{50}ClNP_2Ru$: C, 69.55; H, 5.84; N, 1.62; Found: C, 69.46; H, 5.96; N, 1.70. **HRMS (ESI)** *m/z* calc. for $C_{50}H_{50}NP_2Ru$ $[M-Cl]^+$: 828.2470, found: 828.2464.



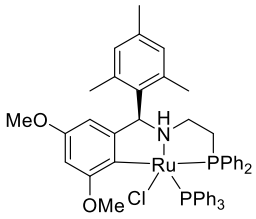
4d: yellow solid, 43% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.50-7.40 (m, 2H), 7.20-6.93 (m, 21H), 6.84-6.77 (m, 4H), 6.55-6.51 (m, 2H), 6.44 (t, *J* = 7.3 Hz, 1H), 6.06 (d, *J* = 7.3 Hz, 1H), 5.22 (d, *J* = 9.2 Hz, 1H), 4.75 (brs, 1H), 3.49-3.30 (m, 2H), 3.00-2.92 (m, 2H), 2.25 (s, 3H), 2.18 (s, 3H); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.6 (d, *J* = 30.6 Hz), 58.0 (d, *J* = 30.7 Hz). **HRMS (ESI)** *m/z* calc. for $C_{47}H_{43}FNP_2Ru$ $[M-Cl]^+$: 804.1906, found: 804.1915.



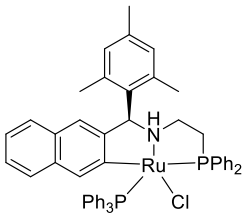
4e: yellow solid, 55% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.41 (d, *J* = 7.7 Hz, 3H), 7.25-6.93 (m, 20H), 6.67-6.62 (m, 2H), 6.55-6.49 (m, 4H), 6.45-6.41 (m, 1H), 6.10 (d, *J* = 7.2 Hz, 1H), 5.23-5.20 (m, 1H), 4.75-4.73 (m, 1H), 3.81 (s, 3H), 3.50-3.34 (m, 2H), 3.01-2.90 (m, 1H), 2.57-2.45 (m, 1H), 2.24 (s, 3H), 2.18 (s, 3H); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.7 (d, *J* = 30.2 Hz), 57.9 (d, *J* = 29.5 Hz). **HR MS (ESI)** *m/z* calc. for $C_{48}H_{46}NOP_2Ru$ $[M\text{-}Cl]^+$: 816.2106, found: 816.2107.



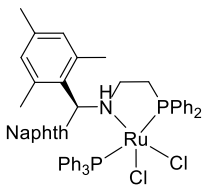
4h: yellow solid, 68% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.55 (d, *J* = 7.4 Hz, 2H), 7.21-7.02 (m, 19H), 6.96-6.84 (m, 4H), 6.63-6.51 (m, 4H), 6.25 (s, 1H), 5.22 (d, *J* = 9.0 Hz, 1H), 4.77 (brs, 1H), 3.44-2.96 (m, 4H), 2.32 (s, 3H), 2.18 (s, 3H), 2.16 (s, 3H); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.0 (d, *J* = 29.3 Hz), 57.1 (d, *J* = 29.1 Hz). **HRMS (ESI)** *m/z* calc. for $C_{49}H_{45}F_3NP_2Ru$ $[M\text{-}Cl]^+$: 868.2031, found: 868.2035.



4i: yellow solid, 53% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.24-7.11 (m, 13H), 7.07-6.95 (m, 11H), 6.82 (s, 1H), 6.76 (s, 1H), 6.71 (s, 2H), 6.35 (s, 1H), 5.56 (d, *J* = 9.7 Hz, 1H), 3.79 (s, 6H), 3.19-3.00 (m, 2H), 2.50-2.40 (m, 2H), 2.35 (s, 3H), 2.23 (s, 3H), 2.22 (s, 3H); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.3 (d, *J* = 35.3 Hz), 51.2 (d, *J* = 35.1 Hz). **HRMS (ESI)** *m/z* calc. for $C_{50}H_{50}NO_2P_2Ru$ $[M\text{-}Cl]^+$: 860.2369, found: 860.2376.

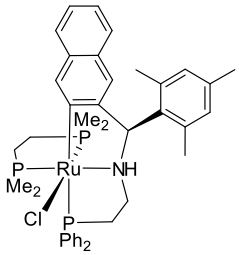


4j: yellow solid, 43% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.74 (s, 1H), 7.39-7.33 (m, 4H), 7.23-6.92 (m, 24H), 6.73 (d, *J* = 7.7 Hz, 1H), 6.56-6.54 (m, 3H), 5.34 (d, *J* = 9.5 Hz, 1H), 4.84 (d, *J* = 9.4 Hz, 1H), 3.49-3.28 (m, 2H), 3.01-2.93 (m, 1H), 2.61-2.46 (m, 1H), 2.34 (s, 3H), 2.18 (s, 3H), 2.14 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 184.0, 154.3, 143.9 (d, *J* = 5.8 Hz), 140.3 (d, *J* = 43.9 Hz), 137.8 (d, *J* = 13.7 Hz), 137.5, 136.2, 134.7, 133.6 (dd, *J* = 47.7, 19.3 Hz), 133.3 (d, *J* = 10.1 Hz), 131.9, 131.7 (d, *J* = 8.7 Hz), 129.9, 129.2, 128.7 (d, *J* = 16.9 Hz), 128.5, 128.1, 127.8 (dd, *J* = 9.0, 4.4 Hz), 127.3 (d, *J* = 8.9 Hz), 126.8, 125.1, 123.3, 121.6, 116.6, 65.5, 49.0, 37.7 (d, *J* = 25.4 Hz), 23.8, 21.4 (d, *J* = 55.6 Hz), 21.0; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 71.5 (d, *J* = 29.5 Hz), 57.2 (d, *J* = 30.1 Hz). **HRMS (ESI)** *m/z* calc. for $C_{52}H_{48}NP_2Ru$ $[M\text{-}Cl]^+$: 850.2314, found: 850.2314. (According to ^{1}H NMR of **4j**, the singlet signal at δ = 7.74 proves that the Ru-C bond was formed at β-position of the naphthalene group.)

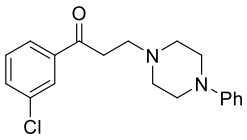


4k: green solid, 79% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.60 (s, 1H), 7.75-7.42 (m, 7H), 7.31-7.24 (m, 2H), 7.18-7.00 (m, 16H), 6.91 (s, 1H), 6.80-6.75 (m, 7H), 5.75 (t, *J* = 11.7 Hz), 3.21-3.02 (m, 2H), 2.76-2.70 (m, 1H), 2.60 (s, 3H), 2.43 (t, *J* = 13.6 Hz, 1H), 2.26 (s, 3H), 2.24 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 138.7 (d,

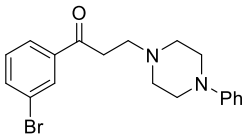
J = 23.1 Hz), 137.4 (d, *J* = 16.2 Hz), 137.3 (d, *J* = 10.7 Hz), 134.9 (d, *J* = 10.4 Hz), 134.5, 134.2 (d, *J* = 10.1 Hz), 134.1, 133.9, 133.7, 133.4, 133.3 (d, *J* = 8.6 Hz), 132.1, 131.4, 130.1, 130.0, 129.7, 129.0 (d, *J* = 1.9 Hz), 128.80, 128.75, 128.5 (d, *J* = 6.7 Hz), 127.5 (d, *J* = 31.7 Hz), 127.4 (d, *J* = 9.6 Hz), 126.0 (d, *J* = 18.7 Hz), 125.5 (d, *J* = 38.6 Hz), 62.8, 45.4, 22.2, 20.9, 20.7; **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 81.4 (d, *J* = 40.1 Hz), 48.5 (d, *J* = 40.1 Hz). **HRMS (ESI)** *m/z* calc. for $C_{52}H_{49}ClNP_2Ru$ $[M\text{-}Cl]^+$: 886.2078, found: 886.2078.



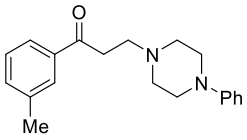
4l: white solid, 48% yield. **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 54.7 (dd, *J* = 23.8, 17.4 Hz), 50.5 (dd, *J* = 22.7, 16.4 Hz), 49.4 (dd, *J* = 24.9, 11.6 Hz), 48.9 (dd, *J* = 21.7, 11.6 Hz), 30.7 (dd, *J* = 16.1, 11.4 Hz), 26.7 (dd, *J* = 17.5, 11.7 Hz). **HRMS (ESI)** *m/z* calc. for $C_{40}H_{49}NP_3Ru$ $[M\text{-}Cl]^+$: 738.2127, found: 738.2127.



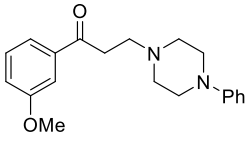
1-(3-Chlorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5b): white solid, 85% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.94 (s, 1H), 7.84 (d, *J* = 7.8 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.40 (t, *J* = 7.8 Hz, 1H), 7.26 (t, *J* = 7.8 Hz, 2H), 6.92 (d, *J* = 8.2 Hz, 2H), 6.85 (t, *J* = 7.2 Hz, 1H), 3.21-3.17 (m, 6H), 2.90-2.87 (m, 2H), 2.68-2.65 (m, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.7, 151.2, 138.4, 135.0, 133.0, 130.0, 129.1, 128.2, 126.1, 119.7, 116.0, 53.3, 52.9, 49.1, 36.4.



1-(3-Bromophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5c): white solid, 80% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.10 (s, 1H), 7.88 (d, *J* = 7.8 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 7.9 Hz, 1H), 7.25 (t, *J* = 8.2 Hz, 2H), 6.92 (d, *J* = 8.2 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.16 (m, 6H), 2.90-2.86 (m, 2H), 2.68-2.65 (m, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.6, 151.2, 138.6, 135.9, 131.1, 130.2, 129.1, 126.5, 123.0, 119.7, 116.0, 53.2, 52.9, 49.1, 36.4.

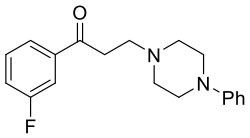


3-(4-Phenylpiperazin-1-yl)-1-(m-tolyl)propan-1-one (5d): white solid, 69% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.78-7.76 (m, 2H), 7.39-7.33 (m, 2H), 7.28-7.24 (m, 2H), 6.92 (d, *J* = 8.1 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.23-3.19 (m, 6H), 2.90 (t, *J* = 7.6 Hz, 2H), 2.69-2.67 (m, 4H), 2.41 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.2, 151.3, 138.4, 137.0, 133.9, 129.1, 128.6, 128.5, 125.3, 119.7, 116.1, 53.3, 53.2, 49.1, 36.3, 21.4.

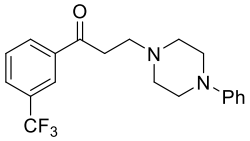


1-(3-Methoxyphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5e): white solid, 73% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.55 (d, *J* = 7.6 Hz, 1H), 7.50 (s, 1H), 7.37 (t, *J* = 8.0 Hz, 1H), 7.26 (t, *J* = 8.3 Hz, 2H), 7.12-7.10 (m, 1H), 6.92 (d, *J* = 8.2 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.85 (s, 3H), 3.23-3.19 (m, 6H), 2.91-2.88 (m, 2H), 2.69-2.66 (m, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 198.8, 159.9, 151.2, 138.3, 129.6, 129.1

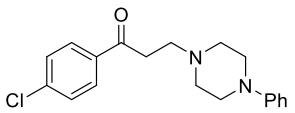
120.6, 119.7, 119.6 116.0, 112.3, 55.4, 53.3, 53.2, 49.1, 36.4.



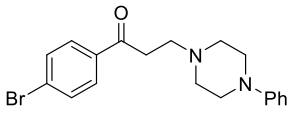
1-(3-Fluorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5f): white solid, 67% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.75 (d, *J* = 7.8 Hz, 1H), 7.67-7.63 (m, 1H), 7.47-7.41 (m, 1H), 7.28-7.24 (m, 3H), 6.92 (d, *J* = 8.1 Hz, 2H), 6.85 (t, *J* = 7.2 Hz, 1H), 3.21-3.18 (m, 6H), 2.91-2.87 (m, 2H), 2.68-2.66 (m, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.7 (d, $^4J_{C\text{-}F}$ = 2.0 Hz), 162.9 (d, $^1J_{C\text{-}F}$ = 246.6 Hz), 151.2, 139.0 (d, $^3J_{C\text{-}F}$ = 6.1 Hz), 130.3 (d, $^3J_{C\text{-}F}$ = 7.8 Hz), 129.1, 123.8 (d, $^4J_{C\text{-}F}$ = 2.9 Hz), 120.1 (d, $^2J_{C\text{-}F}$ = 21.5 Hz), 119.7, 116.0, 114.8 (d, $^2J_{C\text{-}F}$ = 22.2 Hz), 53.3, 53.0, 49.1, 36.5.



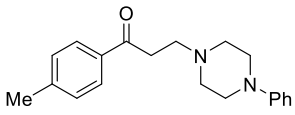
3-(4-Phenylpiperazin-1-yl)-1-(3-(trifluoromethyl)phenyl)propan-1-one (5g): white solid, 77% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.23 (s, 1H), 8.15 (d, *J* = 7.8 Hz, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.8 Hz, 1H), 7.28-7.24 (m, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.86 (t, *J* = 7.3 Hz, 1H), 3.26-3.19 (m, 6H), 2.91 (t, *J* = 7.4 Hz, 2H), 2.68 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm):197.7, 151.2, 137.4, 131.3 (q, $^2J_{C\text{-}F}$ = 33.2 Hz), 131.2, 129.5 (q, $^3J_{C\text{-}F}$ = 3.4 Hz), 129.4, 129.1, 124.9 (q, $^3J_{C\text{-}F}$ = 3.9 Hz), 123.7 (q, $^1J_{C\text{-}F}$ = 271.1 Hz), 119.8, 116.1, 53.3, 52.9, 49.1, 36.5.



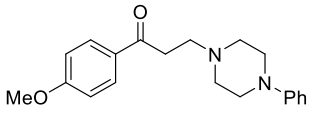
1-(4-Chlorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5h): white solid, 86% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.91 (d, *J* = 8.5 Hz, 2H), 7.44 (d, *J* = 8.5 Hz, 2H), 7.26 (t, *J* = 7.7 Hz, 2H), 6.92 (d, *J* = 8.3 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.17 (m, 6H), 2.89 (t, *J* = 7.4 Hz, 2H), 2.67 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.8, 151.2, 139.6, 135.2, 129.5, 129.1, 129.0, 119.8, 116.1, 53.3, 53.0, 49.1, 36.3.



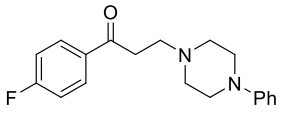
1-(4-Bromophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5i): white solid, 76% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.83 (d, *J* = 8.6 Hz, 2H), 7.60 (d, *J* = 8.6 Hz, 2H), 7.28-7.24 (m, 2H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.16 (m, 6H), 2.88 (t, *J* = 7.1 Hz, 2H), 2.66 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 198.0, 151.2, 135.6, 131.9, 129.6, 129.1, 128.3, 119.8, 116.1, 53.3, 53.0, 49.1, 36.3.



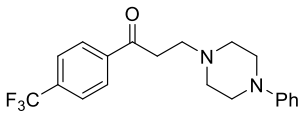
3-(4-Phenylpiperazin-1-yl)-1-(p-tolyl)propan-1-one (5j): white solid, 87% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.87 (d, *J* = 8.2 Hz, 2H), 7.27-7.24 (m, 4H), 6.92 (d, *J* = 8.1 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.18 (m, 6H), 2.89 (t, *J* = 7.6 Hz, 2H), 2.67 (t, *J* = 5.1 Hz, 4H), 2.41 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 198.7, 151.3, 143.9, 134.5, 129.3, 129.1, 128.2, 119.7, 116.0, 53.3, 53.2, 49.1, 36.1, 21.6.



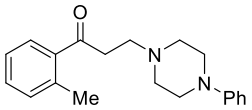
1-(4-Methoxyphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5k): white solid, 71% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98-7.94 (m, 2H), 7.25 (t, *J* = 8.6 Hz, 2H), 6.95-6.91 (m, 4H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.86 (s, 3H), 3.22-3.15 (m, 6H), 2.89 (t, *J* = 7.7 Hz, 2H), 2.67 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.5, 163.5, 151. 2, 130.3, 130.0, 129.1, 119.7, 116.0, 113.7, 55.4, 53.34, 53.26, 49.1, 35.9.



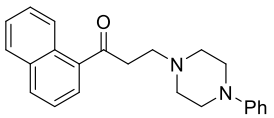
1-(4-Fluorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5l): y ellow solid, 68% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8. 02-7.98 (m, 2H), 7.26 (t, *J* = 8.4 Hz, 2H), 7.13 (t, *J* = 8.6 Hz, 2H), 6.92 (d, *J* = 8.4 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.18 (m, 6H), 2.89 (t, *J* = 7.5 Hz, 2H), 2.67 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 197.4, 165.8 (d, $^1J_{C\text{-}F}$ = 253.1 Hz), 151.2, 133.4 (d, $^3J_{C\text{-}F}$ = 11.6 Hz), 130.7 (d, $^3J_{C\text{-}F}$ = 9.1 H z), 129.1, 119.8, 116.1, 115.7 (d, ^2J = 21.6 Hz), 53.3, 53.1, 49.1, 36.2.



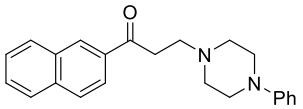
3-(4-Phenylpiperazin-1-yl)-1-(4-(trifluoromethyl)phenyl)propan-1-one (5m): white solid, 59% yield. **^{1}H NMR** ($CDCl_3$, 400 M Hz) δ (ppm): 8.07 (d, *J* = 8.2 Hz, 2H), 7.74 (d, *J* = 8.2 Hz, 2H), 7.28-7.24 (m, 2H), 6.93 (d, *J* = 8.1 Hz, 2H), 6.86 (t, *J* = 7.3 Hz, 1H), 3.26-3.19 (m, 6H), 2.91 (t, *J* = 7.3 Hz, 2H), 2.68 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 198.1, 151.2, 139.6, 134.4 (q, $^2J_{C\text{-}F}$ = 32.3 Hz), 1 29.1, 128.4, 125.8 (q, $^3J_{C\text{-}F}$ = 3.7 Hz), 123.6 (q, $^1J_{C\text{-}F}$ = 271.4 Hz), 119.8, 116.1, 53.3, 52.9, 49.2, 36.7.



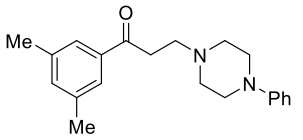
3-(4-Phenylpiperazin-1-yl)-1-(o-tolyl)propan-1-one (5n): yellow oil, 70% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.61 (d, *J* = 7.7 Hz, 1H), 7.33 (t, *J* = 7.4 Hz, 1H), 7.25-7.21 (m, 4H), 6.89 (d, *J* = 8.4 Hz, 2H), 6.83 (t, *J* = 7.3 Hz, 1H), 3.15-3.08 (m, 6H), 2.82 (t, *J* = 7.2 Hz, 2H), 2.60 (t, *J* = 5.0 Hz, 4H), 2.49 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MH z) δ (ppm): 203.3, 151.1, 137.9, 137.8, 131.8, 131.0, 129.0, 128.1, 125.5, 119.5, 115.9, 53. 4, 53.0, 48.9, 39.1, 21.0.



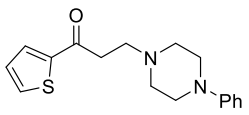
1-(Naphthalen-1-yl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5o): yellow solid, 72% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8. 55 (d, *J* = 8.5 Hz, 1H), 7.97 (d, *J* = 8.2 Hz, 1H), 7.87-7.84 (m, 2H), 7.58-7.47 (m, 3H), 7.27-7.23 (m, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 6.84 (t, *J* = 7.2 Hz, 1H), 3.27 (t, *J* = 7.2 Hz, 2H), 3.14 (t, *J* = 4.9 Hz, 4H), 2.9 2 (t, *J* = 7.2 Hz, 2H), 2.63 (t, *J* = 5.0 Hz, 4H),; **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 203.7, 151.3, 136.3, 134.0, 132.4, 130.1, 129.1, 128.4, 127.8, 127.0, 126.5, 125.9, 124.3, 119.7, 116.0, 53.7, 53.1, 49.1, 39.9.



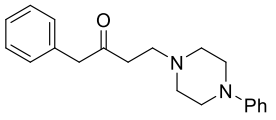
1-(Naphthalen-2-yl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5p): yellow solid, 85% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.50 (s, 1H), 8.05-8.03 (m, 1H), 7.96 (d , *J* = 8.0 Hz, 1H), 7.89 (t, *J* = 8.9 Hz, 2H), 7.62-7.54 (m, 2H), 7.28-7.24 (m, 2H), 6.93 (d, *J* = 8.1 Hz, 2H), 6.86 (t, *J* = 7.3 Hz, 1H), 3.36 (t, *J* = 7.2 Hz, 2H), 3.22 (t, *J* = 4.9 Hz, 4H), 2.96 (t, *J* = 7.6 Hz, 2H), 2.71 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 151.3, 135.6, 134.3, 132.5, 129.8, 129.6, 129.1, 128.5, 127.8, 126.8, 123.8, 119.8, 116.1, 53.3, 49.2, 36.4.



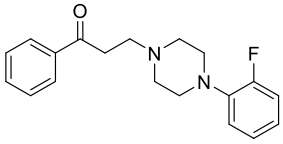
1-(3,5-Dimethylphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-one (5q): white solid, 90% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.58 (s, 2H), 7.25 (t, *J* = 8.2 Hz, 2H), 7.20 (s, 1H), 6.92 (d, *J* = 8.3 Hz, 2H), 6.85 (t, *J* = 7.2 Hz, 1H), 3.21-3.18 (m, 6H), 2.89 (t, *J* = 7.6 Hz, 2H), 2.67 (t, *J* = 5.0 Hz, 4H), 2.37 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.4, 151.3, 138.2, 137.0, 134.8, 129.1, 125.8, 119.7, 116.0, 53.3, 53.2, 49.1, 36.3, 21.2.



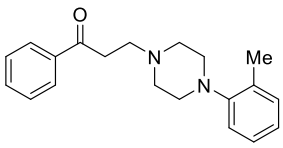
3-(4-Phenylpiperazin-1-yl)-1-(thiophen-2-yl)propan-1-one (5r): white solid, 87% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.75-7.74 (m, 1H), 7.64-7.63 (m, 1H), 7.28-7.24 (m, 2H), 7.14-7.12 (m, 1H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.85 (t, *J* = 7.3 Hz, 1H), 3.21-3.13 (m, 6H), 2.90 (t, *J* = 7.6 Hz, 2H), 2.67 (t, *J* = 5.1 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 191.8, 151.2, 144.3, 133.7, 131.9, 129.1, 128.1, 119.7, 116.1, 53.3, 53.2, 49.1, 37.0.



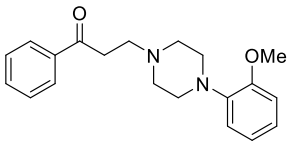
1-Phenyl-4-(4-phenylpiperazin-1-yl)butan-2-one (5s): white solid, 57% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.34-7.20 (m, 7H), 6.90 (d, *J* = 8.1 Hz, 2H), 6.84 (t, *J* = 7.3 Hz, 1H), 3.72 (s, 2H), 3.14 (t, *J* = 4.9 Hz, 4H), 2.67 (t, *J* = 3.6 Hz, 4H), 2.54 (t, *J* = 5.0 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 207.2, 151.2, 134.1, 129.4, 129.1, 128.7, 127.1, 119.7, 116.0, 53.1, 52.7, 50.4, 49.1, 39.4.



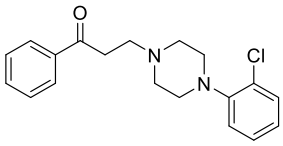
3-(4-(2-Fluorophenyl)piperazin-1-yl)-1-phenylpropan-1-one (5t): white solid, 76% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.8 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.07-6.93 (m, 4H), 3.23 (t, *J* = 7.3 Hz, 2H), 3.13 (t, *J* = 4.0 Hz, 4H), 2.93 (t, *J* = 7.4 Hz, 2H) , 2.73-2.72 (m, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 155.8 (d, $^1J_{C\text{-}F}$ = 244.3 Hz), 140.1 (d, $^3J_{C\text{-}F}$ = 8.6 Hz), 137.0, 133.2, 128.7, 128.1, 124.5 (d, $^4J_{C\text{-}F}$ = 3.5 Hz), 122.5 (d, $^3J_{C\text{-}F}$ = 7.7 Hz), 118.9 (d, $^4J_{C\text{-}F}$ = 2.9 Hz), 116.1 (d, $^2J_{C\text{-}F}$ = 20.6 Hz), 53.3, 53.2, 50.5 (d, $^4J_{C\text{-}F}$ = 3.3 Hz), 36.2.



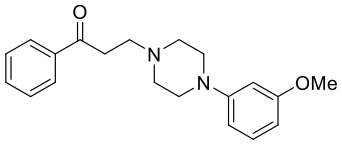
1-Phenyl-3-(4-(o-tolyl)piperazin-1-yl)propan-1-one (5u): white solid, 82% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.99 (d, *J* = 7.7 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.4 Hz, 2H), 7.17 (t, *J* = 7.6 Hz, 2H), 7.04-6.96 (m, 2H), 3.25 (t, *J* = 7.3 Hz, 2H), 2.97-2.91 (m, 6H), 2.70 (s, 4H) , 2.31 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 151.4, 137.0, 133.2, 132.7, 131.1, 128.7, 128.1, 126.6, 123.2, 119.0, 53.8, 53.3, 51.7, 36.4, 17.9.



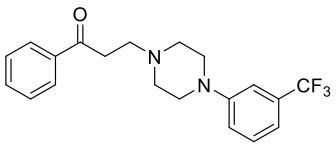
3-(4-(2-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-one (5v): yellow solid, 92% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.5 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.02-6.92 (m, 3H), 6.86 (d, *J* = 7.9 Hz, 1H), 3.86 (s, 3H), 3.25 (t, *J* = 7.2 Hz, 2H), 3.11 (s, 4H), 2.93 (t, *J* = 7.6 Hz, 2H), 2.74 (s, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 152.2, 141.2, 136.9, 133.1, 128.6, 128.0, 122.9, 121.0, 118.2, 111.1, 55.3, 53.4, 53.2, 50.6, 36.2.



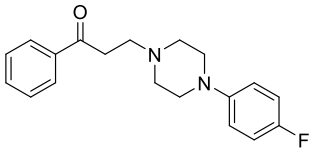
3-(4-(2-Chlorophenyl)piperazin-1-yl)-1-phenylpropan-1-one (5w): white solid, 80% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.4 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 7.37-7.34 (m, 1H), 7.24-7.20 (m, 1H), 7.06-7.04 (m, 1H), 6.99-6.95 (m, 1H), 3.24 (t, *J* = 7.2 Hz, 2H), 3.10 (s, 4H), 2.94 (t, *J* = 7.6 Hz, 2H), 2.73 (s, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 149.2, 137.0, 133.2, 130.7, 128.8, 128.7, 128.1, 127.6, 123.7, 120.4, 53.4, 53.2, 51.2, 36.3.



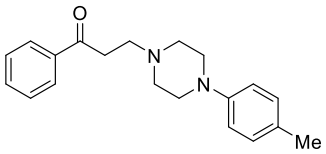
3-(4-(3-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-one (5x): yellow oil, 74% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.6 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.17 (t, *J* = 8.2 Hz, 1H), 6.54 (d, *J* = 8.2 Hz, 1H), 6.47-6.41 (m, 2H), 3.79 (s, 3H), 3.25-3.19 (m, 6H), 2.90 (t, *J* = 7.4 Hz, 2H), 2.67 (t, *J* = 4.8 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 160.6, 152.6, 136.9, 133.2, 129.8, 128.7, 128.0, 108.9, 104.4, 102.5, 55.2, 53.2, 53.1, 49.0, 36.3.



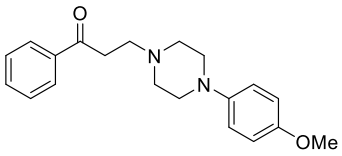
1-Phenyl-3-(4-(3-(trifluoromethyl)phenyl)piperazin-1-yl)propan-1-one (5y): yellow oil, 79% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.8 Hz, 2H), 7.60-7.56 (m, 1H), 7.50-7.46 (m, 2H), 7.34 (t, *J* = 7.9 Hz, 1H), 7.11-7.05 (m, 3H), 3.25-3.24 (m, 6H), 2.94-2.90 (m, 2H), 2.69 (s, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 151.3, 136.9, 133.2, 131.4 (q, $^2J_{C\text{-}F}$ = 31.4 Hz), 129.6, 128.7, 128.1, 124.3 (q, $^1J_{C\text{-}F}$ = 270.8 Hz), 118.7, 115.9 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 112.2 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 53.12, 53.1, 48.7, 36.3.



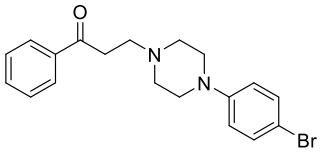
3-(4-(4-Fluorophenyl)piperazin-1-yl)-1-phenylpropan-1-one (5 z): yellow solid, 83% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.8 Hz, 2H), 7.57 (t, *J* = 7.5 Hz, 1H), 7. 47 (t, *J* = 7.4 Hz, 2H), 6.96 (t, *J* = 8.5 Hz, 2H), 6.89-6.86 (m, 2H), 3.23 (t, *J* = 7.3 Hz, 2H), 3.13 (t, *J* = 4.3 Hz, 4H), 2.91 (t, *J* = 7.3 Hz, 2H), 2.69 (t, *J* = 4.5 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (pp m): 199.0, 157.2 (d, $^1J_{C\text{-}F}$ = 237.5 Hz), 147.9, 136.9, 133.2, 128.7, 128.1, 117.9 (d, $^3J_{C\text{-}F}$ = 7.5 Hz), 115.5 (d, $^2J_{C\text{-}F}$ = 21.9 Hz), 53.3, 53.1, 50.2, 36.3.



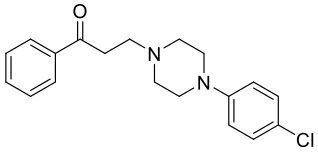
1-Phenyl-3-(4-(p-tolyl)piperazin-1-yl)propan-1-one (5aa): yell ow solid, 71% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.4 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 7.08 (d, *J* = 8.4 Hz, 2H), 6.85 (d, *J* = 8. 5 Hz, 2H), 3.24 (t, *J* = 7.2 Hz, 2H), 3.17 (t, *J* = 4.8 Hz, 4 H), 2.91 (t, *J* = 7.6 Hz, 2H), 2.69 (t, *J* = 5.0 Hz, 4H), 2.27 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 149.2, 136.9, 133.1, 129.6, 129.3, 128.6, 128.0, 116.4, 53.3, 5 3.2, 49.7, 36.3, 20.4.



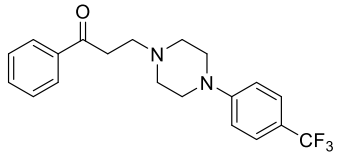
3-(4-(4-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-one (5ab): yellow solid, 73% yield. **^{1}H NMR** ($CDCl_3$, 400 MH z) δ (ppm): 7.98 (d, *J* = 7.8 Hz, 2H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 2H), 6.90 (d, *J* = 8.8 Hz, 2H), 6.84 (d, *J* = 8.8 Hz, 2H), 3.77 (s, 3H), 3.24 (t, *J* = 7.3 Hz, 2H), 3.11 (t, *J* = 4.4 Hz, 4 H), 2.92 (t, *J* = 7.4 Hz, 2H), 2.70 (t, *J* = 4.5 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 153.9, 145.7, 137.0, 133.2, 128.7, 128.1, 118.3, 114.5, 55.6, 53.4, 53.2, 50.6, 36.3.



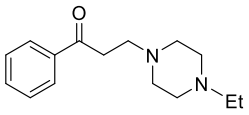
3-(4-(4-Bromophenyl)piperazin-1-yl)-1-phenylpropan-1-one (5 ac): white solid, 85% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.97 (d, *J* = 7.8 Hz, 2H), 7.59-7.55 (m, 1H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.34-7.32 (m, 2H), 6.78 (d, *J* = 8.4 Hz, 2 H), 3.22 (t, *J* = 7.3 Hz, 2H), 3.17 (t, *J* = 4.5 Hz, 4H), 2.90 (t, *J* = 7.2 Hz, 2H), 2.67 (t, *J* = 4.7 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 150.3, 136.9, 133.2, 131.9, 128.7, 128.1, 117.6, 111.8, 53.1, 49.0, 36.3.



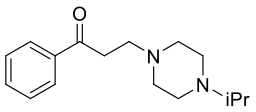
3-(4-(4-Chlorophenyl)piperazin-1-yl)-1-phenylpropan-1-one (5 ad): white solid, 80% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98-7.97 (m, 2H), 7.59-7.56 (m, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.22-7.18 (m, 2H), 6.85-6.81 (m, 2H), 3.23 (t, *J* = 7.1 Hz, 2H), 3.17 (t, *J* = 4.9 Hz, 4H), 2.90 (t, *J* = 7.5 Hz, 2H), 2.68 – 2.66 (m, 4H).; **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 149.9, 136.9, 133.2, 129.0, 128.7, 128.1, 1 24.6, 117.2, 53.14, 53.11, 49.2, 36.3.



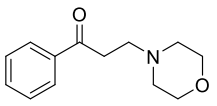
1-Phenyl-3-(4-(4-(trifluoromethyl)phenyl)piperazin-1-yl)propan-1-one (5ae): white solid, 76% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.98 (d, *J* = 7.6 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.50-7.46 (m, 4H), 6.92 (d, *J* = 8.5 Hz, 2H), 3.29 (t, *J* = 4.6 Hz, 4H), 3.24 (t, *J* = 7.2 Hz, 2H), 2.92 (t, *J* = 7.2 Hz, 2H), 2.68 (t, *J* = 4.7 Hz, 4H).; **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm):.198.9, 153.3, 136.9, 133.2, 128.7, 128.1, 126.4 (q, $^3J_{C\text{-}F}$ = 3.6 Hz), 124.8 (q, $^3J_{C\text{-}F}$ = 270.0 Hz), 120.5 (q, $^2J_{C\text{-}F}$ = 32.3 Hz), 114.5, 53.1, 53.0, 48.0, 36.3.



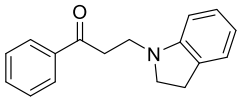
3-(4-Ethylpiperazin-1-yl)-1-phenylpropan-1-one (5af): yellow oil, 59% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.92 (d, *J* = 7.6 Hz, 2H), 7.53 (t, *J* = 7.3 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 3.16 (t, *J* = 7.3 Hz, 2H), 2.82 (t, *J* = 7.6 Hz, 2H), 2.52-2.36 (m, 10H), 1.05 (t, *J* = 7.2 Hz, 3H).; **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 136.9, 133.1, 128.6, 128.0, 53.2, 53.1, 52.7, 52.2, 36.1, 12.0.



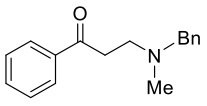
3-(4-Isopropylpiperazin-1-yl)-1-phenylpropan-1-one (5ag): yellow oil, 63% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.93 (d, *J* = 7.4 Hz, 2H), 7.53 (t, *J* = 7.3 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 3.17 (t, *J* = 7.3 Hz, 2H), 2.82 (t, *J* = 7.6 Hz, 2H), 2.65-2.48 (m, 9H), 1.02 (d, *J* = 6.5 Hz, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 136.9, 133.0, 128.6, 128.0, 54.4, 53.5, 53.1, 48.6, 36.1, 18.6.



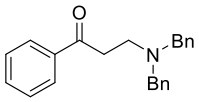
3-Morpholino-1-phenylpropan-1-one (5ah): yellow oil, 70% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96 (d, *J* = 7.6 Hz, 2H), 7.57 (t, *J* = 7.2 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 3.72-3.70 (m, 4H), 3.18 (t, *J* = 7.2 Hz, 2H), 2.83 (t, *J* = 7.4 Hz, 2H), 2.51 (t, *J* = 4.5 Hz, 4H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.0, 137.0, 133.2, 128.7, 128.1, 67.0, 53.8, 53.6, 36.1.



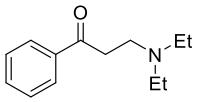
3-(Indolin-1-yl)-1-phenylpropan-1-one (5ai): white solid, 88% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.99-7.96 (m, 2H), 7.60-7.56 (m, 1H), 7.49-7.46 (m, 2H), 7.10-7.06 (m, 2H), 6.68-6.65 (m, 1H), 6.54 (d, *J* = 8.0 Hz, 1H), 3.60 (t, *J* = 6.9 Hz, 2H), 3.41 (t, *J* = 8.3 Hz, 2H), 3.27 (t, *J* = 7.3 Hz, 2H), 2.97 (t, *J* = 8.3 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.2, 151.9, 136.9, 133.3, 130.1, 128.7, 128.1, 127.4, 124.5, 117.7, 106.9, 53.3, 44.2, 35.8, 28.7.



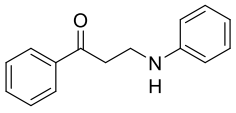
3-(Benzyl(methyl)amino)-1-phenylpropan-1-one (5aj): yellow oil, 75% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.94-7.92 (m, 2H), 7.57-7.53 (m, 1H), 7.46-7.43 (m, 2H), 7.29-7.23 (m, 5H), 3.55 (s, 2H), 3.19 (t, *J* = 7.0 Hz, 2H), 2.88 (t, *J* = 7.6 Hz, 2H), 2.26 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.5, 138.8, 137.0, 133.0, 129.0, 128.6, 128.3, 128.1, 127.1, 62.4, 52.5, 42.3, 37.0.



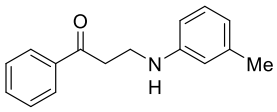
3-(Dibenzylamino)-1-phenylpropan-1-one (5ak): yellow oil, 68% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.82 (d, *J* = 7.7 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.40-7.32 (m, 6H), 7.27 (t, *J* = 7.3 Hz, 4H), 7.21 (t, *J* = 7.3 Hz, 2H), 3.62 (s, 4H), 3.12 (t, *J* = 7.1 Hz, 2H), 2.94 (t, *J* = 7.4 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.7, 139.4, 136.9, 132.9, 128.8, 128.6, 128.5, 128.3, 128.1, 127.0, 58.6, 49.3, 37.0.



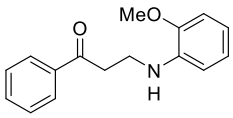
3-(Diethylamino)-1-phenylpropan-1-one (5al): yellow oil, 43% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96 (d, *J* = 7.6 Hz, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 3.13 (t, *J* = 7.1 Hz, 2H), 2.92 (t, *J* = 7.8 Hz, 2H), 2.57 (q, *J* = 7.2 Hz, 4H), 1.04 (t, *J* = 7.2 Hz, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.8, 137.1, 133.0, 128.6, 128.1, 47.9, 47.0, 36.4, 11.8.



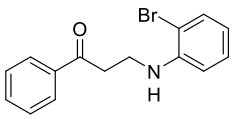
1-Phenyl-3-(phenylamino)propan-1-one (5am): white solid, 85% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96 (d, *J* = 7.6 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.19 (t, *J* = 7.6 Hz, 2H), 6.72 (t, *J* = 7.3 Hz, 1H), 6.66 (d, *J* = 8.0 Hz, 2H), 3.63 (t, *J* = 6.2 Hz, 2H), 3.29 (t, *J* = 6.1 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.3, 147.7, 136.7, 133.4, 129.4, 128.7, 128.1, 117.6, 113.1, 38.7, 37.7.



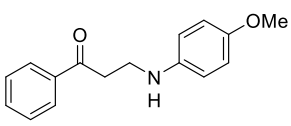
1-Phenyl-3-(m-tolylamino)propan-1-one (5an): white solid, 90% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96 (d, *J* = 8.0 Hz, 2H), 7.60-7.56 (m, 1H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.55 (d, *J* = 7.5 Hz, 1H), 6.48 (d, *J* = 6.8 Hz, 2H), 3.62 (t, *J* = 6.2 Hz, 2H), 3.28 (t, *J* = 6.1 Hz, 2H), 2.29 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.4, 147.8, 139.1, 136.8, 133.3, 129.2, 128.7, 128.1, 118.6, 113.9, 110.2, 38.8, 37.8, 21.6.



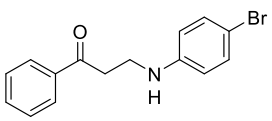
3-((2-Methoxyphenyl)amino)-1-phenylpropan-1-one (5ao): white solid, 73% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96 (d, *J* = 7.4 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 6.91-6.87 (m, 1H), 6.77 (d, *J* = 7.8 Hz, 1H), 6.69 (t, *J* = 7.6 Hz, 2H), 3.82 (s, 3H), 3.65 (t, *J* = 6.5 Hz, 2H), 3.32 (t, *J* = 6.4 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.1, 147.1, 137.7, 136.8, 133.3, 128.6, 128.1, 121.3, 116.7, 109.8, 109.6, 55.4, 38.5, 38.0.



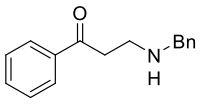
3-((2-Bromophenyl)amino)-1-phenylpropan-1-one (5ap): white solid, 84% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.97 (d, *J* = 7.8 Hz, 2H), 7.58 (t, *J* = 7.2 Hz, 1H), 7.49-7.41 (m, 3H), 7.20 (t, *J* = 8.0 Hz, 1H), 6.72 (dd, *J* = 8.2 Hz, 1H), 6.58 (t, *J* = 7.7 Hz, 1H), 3.67 (t, *J* = 6.4 Hz, 2H), 3.32 (t, *J* = 6.4 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 198.8, 144.6, 136.7, 133.4, 132.6, 128.7, 128.5, 128.1, 118.0, 111.2, 110.1, 38.7, 37.7.



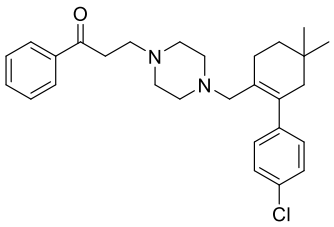
3-((4-Methoxyphenyl)amino)-1-phenylpropan-1-one (5aq): white solid, 80% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.95 (d, *J* = 7.6 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 6.79 (d, *J* = 8.8 Hz, 2H), 6.63 (d, *J* = 8.8 Hz, 2H), 3.75 (s, 3H), 3.56 (t, *J* = 6.1 Hz, 2H), 3.27 (t, *J* = 6.1 Hz, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.4, 152.4, 141.9, 136.8, 133.3, 128.7, 128.0, 115.0, 114.6, 55.8, 39.9, 37.7.



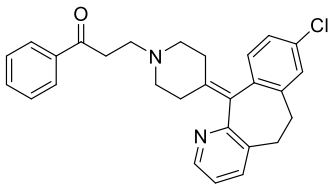
3-((4-Bromophenyl)amino)-1-phenylpropan-1-one (5ar): white soli d, 87% yield. **^{1}H NMR** (Acetone-d_6, 400 MHz) δ (ppm): 8.00 (d, *J* = 7.4 Hz, 2H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.51 (t, *J* = 7.8 Hz, 2 H), 7.22 (d, *J* = 8.8 Hz, 2H), 6.64 (d, *J* = 8.8 Hz, 2H), 5.20 (brs, 1H), 3.56-3.52 (m, 2H), 3.35 (t, *J* = 6.4 Hz, 2H); **^{13}C NMR** (Acetone-d_6, 100 MHz) δ (pp m): 199.1, 148.9, 137.9, 133.9, 132.5, 129.5, 128.8, 115.0, 108.0, 39.3, 38.3.



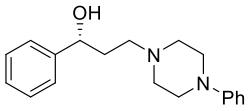
3-(Benzylamino)-1-phenylpropan-1-one (5as): white solid, 80% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.96-7.94 (m, 2H), 7.58-7.54 (m, 1 H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.35-7.30 (m, 4H), 7.25-7.22 (m, 1H), 3.84 (s, 2H), 3.21 (t, *J* = 6.2 Hz, 2H), 3.04 (t, *J* = 6.2 Hz, 2H), 1.78 (brs, 1 H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.7, 140.3, 137.0, 133.2, 128.6, 128.5, 128. 1, 128.0, 127.0, 54.2, 44.2, 38.9.



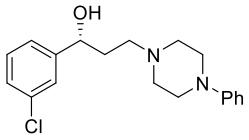
3-(4-((4'-Chloro-2,2-dimethyl-3,4,5,6-tetrahydro-[1,1'-bipheny l]-2-yl)methyl)piperazin-1-yl)-1-phenylpropan-1-one (5aw): w hite solid, 76% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.95-7.93 (m, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.26-7.23 (m, 2H), 6.98-6.95 (m, 2H), 3.16 (t, *J* = 7. 2 Hz, 2H), 2.82-2.78 (m, 4H), 2.49-1.99 (m, 12H), 1.44 (t, *J* = 6.4 Hz, 2H), 0.96 (s, 6H).; **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.2, 142.3, 136.9, 1 34.8, 133.1, 131.8, 129.8, 129.6, 128.6 ,128.2, 128.0, 60.5, 53.3, 53.1, 52.9, 47.0, 36.4, 3 5.4, 29.2, 28.2, 25.7.



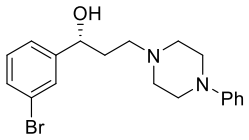
3-(4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]p yridin-11-ylidene)piperidin-1-yl)-1-phenylpropan-1-one (5ax): yellow oil, 72% yield. **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (pp m): 8.39 (d, *J* = 4.7 Hz, 1H), 7.94 (d, *J* = 7.9 Hz, 2H), 7.55 (t, *J* = 7.9 Hz, 1H), 7.46-7.41 (m, 3H), 7.13 (d, *J* = 7.8 Hz, 3H), 7.09-7.06 (m, 1H), 3.44-3.32 (m, 2H), 3.18 (t, *J* = 7.3 Hz, 2H), 2.86-2.75 (m, 6H), 2.56-2.49 (m, 1H), 2.43-2.32 (m, 3H), 2.23-2.15 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 199.3, 157.6, 146.7, 139.6, 138.7, 137.8, 137.3, 137.0, 1 33.4, 133.1, 132.8, 132.7, 130.8, 129.0, 128.6, 128.1, 126.0, 122.1, 54.98, 54.95, 53.0, 36. 5, 31.9, 31.5, 31.0, 30.8.



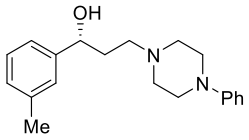
(*R*)-1-Phenyl-3-(4-phenylpiperazin-1-yl)propan-1-ol (6a)[5]: yellow oil, 94% yield. $[\alpha]_D^{22}$ = 26.9 (*c* = 1.0, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.33 (m, 4H), 7.29-7.23 (m, 3H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.87 (t, *J* = 7.3 Hz, 1H), 4.96 (t, *J* = 5.7 Hz, 1H), 3.24 (t, *J* = 5.0 Hz, 4H), 2.81-2.72 (m, 3H), 2.67-2.60 (m, 3H), 1.93-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.1, 144.8, 129.2, 128.3, 127.0, 125.5, 120.0, 116.3, 75.5, 57.1, 53.3, 49.3, 33.7. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV det ection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.3 min (major), t_R = 9.3 min (minor).



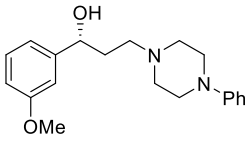
(*R*)-1-(3-Chlorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6b)[5]: yellow oil, 95% yield. $[\alpha]_D^{22}$ = 49.3 (*c* = 6.58, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.40 (s, 1H), 7.29-7.20 (m, 5H), 6.92 (d, *J* = 8.1 Hz, 2H), 6.87 (t, *J* = 7.3 Hz, 1H), 4.93-4.90 (m, 1H), 3.23 (t, *J* = 4.7 Hz, 4H), 2.80-2.71 (m, 3H), 2.66-2.59 (m, 3H), 1.88-1.84 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 147.0, 134.2, 129.5, 129.2, 127.1, 125.8, 123.7, 120.1, 116.3, 75.0, 57.0, 53.2, 49.3, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 20.6 min (major), t_R = 9.0 min (minor).



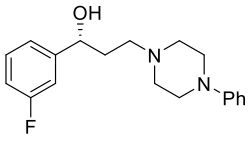
(*R*)-1-(3-Bromophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6c)[5]: yellow oil, 90% yield. $[\alpha]_D^{22}$ = 39.5 (*c* = 3.08, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.56 (s, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.28 (t, *J* = 7.5 Hz, 3H), 7.21 (t, *J* = 7.8 Hz, 1H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.88 (t, *J* = 7.3 Hz, 1H), 4.94-4.91 (m, 1H), 3.25 (t, *J* = 4.8 Hz, 4H), 2.82-2.73 (m, 3H), 2.68-2.60 (m, 3H), 1.89-1.84 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 147.2, 130.0, 129.9, 129.2, 128.7, 124.2, 122.6, 120.1, 116.3, 75.0, 57.1, 53.2, 49.3, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 23.5 min (major), t_R = 9.2 min (minor).



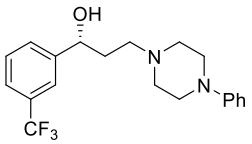
(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(m-tolyl)propan-1-ol (6d)[5]: yellow oil, 99% yield. $[\alpha]_D^{22}$ = 43.9 (*c* = 6.30, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.29-7.21 (m, 4H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.06 (d, *J* = 7.3 Hz, 1H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.87 (t, *J* = 7.3 Hz, 1H), 4.92 (t, *J* = 4.9 Hz, 1H), 3.24 (t, *J* = 4.8 Hz, 4H), 2.82-2.72 (m, 3H), 2.66-2.60 (m, 3H), 2.36 (s, 3H), 1.92-1.87 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.1, 144.7, 137.8, 129.1, 128.1, 127.7, 126.2, 122.6, 120.0, 116.2, 75.6, 57.2, 53.3, 49.3, 33.8, 21.5. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 23.3 min (major), t_R = 8.2 min (minor).



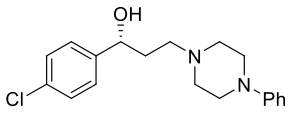
(*R*)-1-(3-Methoxyphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6e)[5]**:** yellow oil, 93% yield. $[\alpha]_D^{22}$ = 25.4 (*c* = 4.40, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.29-7.24 (m, 3H), 6.97-6.92 (m, 4H), 6.87 (t, *J* = 7.3 Hz, 1H), 6.81-6.78 (m, 1H), 4.95-4.92 (m, 1H), 3.82 (s, 3H), 3.24 (t, *J* = 4.8 Hz, 4H), 2.81-2.60 (m, 6H), 1.93-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 159.7, 151.1, 146.6, 129.25, 129.16, 120.0, 117.9, 116.3, 112.4, 111.1, 75.4, 57.0, 55.2, 53.2, 49.3, 33.6. **>99% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 30.1 min (major), t_R = 12.8 min (minor).



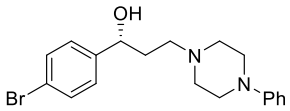
(*R*)-1-(3-Fluorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6f)[5]**:** yellow oil, 87% yield. $[\alpha]_D^{22}$ = 46.6 (*c* = 4.83, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.32-7.25 (m, 3H), 7.13 (d, *J* = 7.9 Hz, 2H), 6.94-6.86 (m, 4H), 4.96-4.94 (m, 1H), 3.24 (t, *J* = 4.7 Hz, 4H), 2.81-2.72 (m, 3H), 2.66-2.60 (m. 3H), 1.94-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 163.0 (d, $^1J_{C\text{-}F}$ = 243.6 Hz), 151.0, 147.8 (d, $^3J_{C\text{-}F}$ = 6.7 Hz), 129.7 (d, $^3J_{C\text{-}F}$ = 8.1 Hz), 129.2, 121.1 (d, $^4J_{C\text{-}F}$ = 2.7 Hz), 120.1, 116.3, 113.7 (d, $^2J_{C\text{-}F}$ = 21.1 Hz), 112.5 (d, $^2J_{C\text{-}F}$ = 21.7 Hz), 75.0, 57.0, 53.2, 49.3, 33.5. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.8 min (major), t_R = 9.0 min (minor).



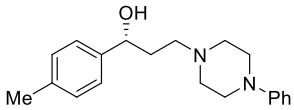
(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(3-(trifluoromethyl)phenyl)propan-1-ol (6g)[5]**:** colorless oil, 87% yield. $[\alpha]_D^{22}$ = 25.3 (*c* = 1.50, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.71 (s, 1H), 7.59-7.52 (m, 2H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.32-7.28 (m, 2H), 6.96 (d, *J* = 7.9 Hz, 2H), 6.90 (t, *J* = 7.3 Hz, 1H), 5.04-5.01 (m, 1H), 3.27 (t, *J* = 5.0 Hz, 4H), 2.84-2.77 (m, 3H), 2.69-2.62 (m, 3H), 1.93-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 145.9, 130.6 (q, $^2J_{C\text{-}F}$ = 31.9 Hz). 129.2, 128.9, 128.7, 124.3 (q, $^1J_{C\text{-}F}$ = 270.8 Hz), 123.8 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 122.4 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 120.1, 116.3, 75.0, 57.0, 53.2, 49.3, 33.6. **96% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 13.0 min (major), t_R = 6.7 min (minor).



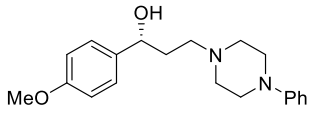
(*R*)-1-(4-Chlorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6h)[5]**:** white solid, 96% yield. $[\alpha]_D^{22}$ = 42.3 (*c* = 1.28, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.23-7.17 (m, 6H), 6.85 (d, *J* = 8.1 Hz, 2H), 6.80 (t, *J* = 7.3 Hz, 1H), 4.86-4.83 (m, 1H), 3.16 (t, *J* = 4.9 Hz, 4H), 2.73-2.64 (m, 3H), 2.59-2.51 (m, 3H), 1.80-1.75 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 143.3, 132.5, 129.2, 128.4, 126.9, 120.1, 116.3, 74.9, 57.0, 53.2, 49.3, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.5 min (major), t_R = 10.7 min (minor).



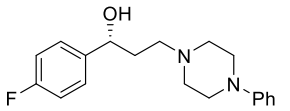
(*R*)-1-(4-Bromophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6i)[5]**:** white solid, 96% yield. $[\alpha]_D^{22}$ = 21.3 (*c* = 0.95, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.46 (d, *J* = 8.4 Hz, 2H), 7.29-7.25 (m, 4H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.88 (t, *J* = 7.3 Hz, 1H), 4.93-4.90 (m, 1H), 3.24 (t, *J* = 4.8 Hz, 4H), 2.81-2.72 (m, 3H), 2.67-2.59 (m, 3H), 1.90-1.83 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 143.9, 131.3, 129.2, 127.3, 120.7, 120.1, 116.3, 75.0, 57.1, 53.3, 49.3, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 17.3 min (major), t_R = 11.6 min (minor).



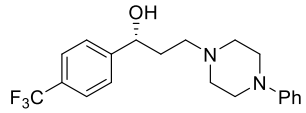
(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(p-tolyl)propan-1-ol (6j)[5]**:** yellow solid, 97% yield. $[\alpha]_D^{22}$ = 26.1 (*c* = 0.80, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.32-7.28 (m, 4H), 7.19 (d, *J* = 7.9 Hz, 2H), 6.96 (d, *J* = 8.0 Hz, 2H), 6.90 (t, *J* = 7.3 Hz, 1H), 4.98 (t, *J* = 5.7 Hz, 1H), 3.27 (t, *J* = 5.0 Hz, 4H), 2.83-2.73 (m, 3H), 2.69-2.62 (m, 3H), 2.37 (s, 3H), 1.94-1.90 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.1, 141.8, 136.5, 129.1, 128.9, 125.4, 120.0, 116.2, 75.3, 57.0, 53.2, 49.2, 33.8, 21.1. **99% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.8 min (major), t_R = 10.5 min (minor).



(*R*)-1-(4-Methoxyphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6k)[5]**:** white solid, 41% yield. $[\alpha]_D^{22}$ = 25.9 (*c* = 1.20, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.31-7.24 (m, 4H), 6.93-6.85 (m, 5H), 4.91-4.88 (m, 1H), 3.79 (s, 3H), 3.23 (t, *J* = 4.9 Hz, 4H), 2.81-2.70 (m, 3H), 2.65-2.58 (m, 3H), 1.94-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 158.6, 151.1, 137.0, 129.1, 126.7, 120.0, 116.2, 113.6, 75.1, 57.1, 55.3, 53.2, 49.2, 33.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 20.0 min (major), t_R = 14.6 min (minor).

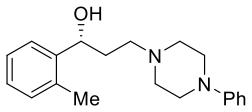


(*R*)-1-(4-Fluorophenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6l)[5]**:** yellow solid, 98% yield. $[\alpha]_D^{22}$ = 29.1 (*c* = 1.48, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.36-7.32 (m, 2H), 7.29-7.24 (m, 2H), 7.02 (t, *J* = 8.7 Hz, 2H), 6.93-6.85 (m, 3H), 4.93-4.91 (m, 1H), 3.24 (t, *J* = 4.9 Hz, 4H), 2.81-2.72 (m, 3H), 2.66-2.59 (m, 3H), 1.88-1.84 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 161.9 (d, $^1J_{C\text{-}F}$ = 243.0 Hz), 151.0, 140.5 (d, $^4J_{C\text{-}F}$ = 3.0 Hz), 129.1, 127.1 (d, $^3J_{C\text{-}F}$ = 7.8 Hz), 120.0, 116.2, 115.0 (d, $^2J_{C\text{-}F}$ = 21.1 Hz), 74.9, 57.1, 53.2, 49.2, 33.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 12.3 min (major), t_R = 9.4 min (minor).

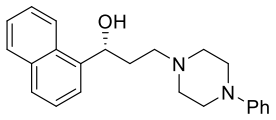


(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(4-(trifluoromethyl)phenyl)propan-1-ol (6m)[5]**:** white solid, 89% yield. $[\alpha]_D^{22}$ = 43.3 (*c* = 2.10, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.60 (d, *J* = 8.

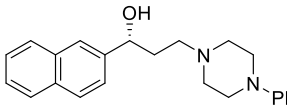
2 Hz, 2H), 7.50 (d, *J* = 8.2 Hz, 2H),7.29-7.24 (m, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.88 (t, *J* = 7.3 Hz, 1H), 5.02-5.00 (m, 1H), 3.25 (t, *J* = 4.9 Hz, 4H), 2.80-2.75 (m, 3H), 2.68-2.60 (m, 3H), 1.94-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm):151.0, 148.9, 129.191, 129.189 (q, $^2J_{C\text{-}F}$ = 32.1 Hz), 125.8, 125.2 (q, $^3J_{C\text{-}F}$ = 3.7 Hz), 124.3 (q, $^1J_{C\text{-}F}$ = 270.2 Hz), 120.1, 116.3, 75.1, 57.1, 53.2, 49.3, 33.5. **95% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 10.1 min (major), t_R = 8.2 min (minor).



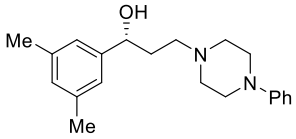
(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(o-tolyl)propan-1-ol (6n)[5]: colorless oil, 40% yield. $[\alpha]_D^{22}$ = 37.1 (*c* = 1.05, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.56 (d, *J* = 7.6 Hz, 1H), 7.28-7.22 (m, 3H), 7.17-7.10 (m, 2H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.87 (t, *J* = 7.3 Hz, 1H), 5.13 (t, *J*= 5.6 Hz, 1H), 3.25 (t, *J* = 5.0 Hz, 4H), 2.83-2.71 (m, 3H), 2.66-2.61 (m, 3H), 2.31 (s, 3H), 1.86-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 142.6, 133.8, 130.2, 129.1, 126.8, 126.0, 125.4, 120.0, 116.2, 72.2, 57.2, 53.2, 49.2, 32.1, 18.9. **99% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 10.6 min (major), t_R = 8.9 min (minor).



(*R*)-1-(Naphthalen-1-yl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6o)[5]: colorless oil, 42% yield. $[\alpha]_D^{22}$ = 66.4 (*c* = 0.90, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.07 (d, *J* = 7.9 Hz, 1H), 7.92 (d, *J* = 7.9 Hz, 1H), 7.83-7.81 (m, 2H), 7.58-7.50 (m, 3H), 7.33 (t, *J* = 7.9 Hz, 2H), 6.99-6.92 (m, 3H), 5.79-5.77 (m, 1H), 3.31 (t, *J* = 4.8 Hz, 4H), 2.84-2.64 (m, 6H), 2.20-2.01 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 140.1, 133.7, 130.1, 129.1, 128.9, 127.4, 125.8, 125.5, 125.2, 122.9, 122.8, 120.0, 116.2, 72.1, 57.0, 53.2, 49.2, 32.6. **99% *ee*, HPLC conditions:** Chiralcel OJ-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 50:50; flow rate = 1.0 mL/min; t_R = 46.7 min (major) , t_R = 26.3 min (minor).

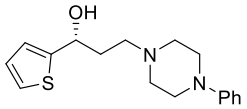


(*R*)-1-(Naphthalen-2-yl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6p)[5]: white solid, 95% yield. $[\alpha]_D^{22}$ = 68.5 (*c* = 2.13, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.91-7.85 (m, 4H), 7.52-7.46 (m, 3H), 7.33-7.29 (m, 2H), 6.98-6.90 (m, 3H), 5.15 (t, *J* = 5.8 Hz, 1H), 3.29 (t, *J* = 4.9 Hz, 4H), 2.84-2.76 (m, 3H), 2.71-2.63 (m, 3H), 2.03-1.99 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 142.2, 133.4, 132.7, 129.1, 127.94, 127.91, 127.6, 126.0, 125.5, 124.1, 124.0, 120.0, 116.2, 75.5, 57.0, 53.2, 49.2, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 80:20; flow rate = 1.0 mL/min; t_R = 26.4 min (major), t_R = 10.9 min (minor).

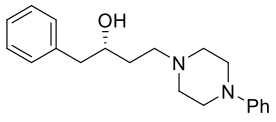


(*R*)-1-(3,5-Dimethylphenyl)-3-(4-phenylpiperazin-1-yl)propan-1-ol (6q)[5]: white solid, 99% yield. $[\alpha]_D^{22}$ = 30.1 (*c* = 1.83, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.31 (t, *J* = 7.9 Hz, 2H), 7.04 (s, 2H), 6.98-6.90 (m, 4H), 4.93-4.90 (m, 1H), 3.28 (t,

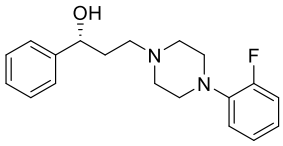
J = 4.8 Hz, 4H), 2.86-2.75 (m, 3H), 2.69-2.66 (m, 3H), 2.37 (s, 3H), 2.00-1.86 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 144.7, 137.7, 129.1, 128.6, 123.3, 119.9, 116.2, 75.5, 57.2, 53.2, 49.2, 33.8, 21.3. **97% *ee*, HPLC conditions:** Chiralpak AD-H col umn, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 35.0 min (major), t_R = 6.7 min (minor).



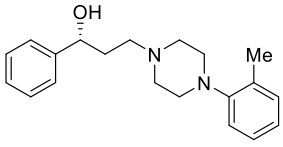
(*R*)-3-(4-Phenylpiperazin-1-yl)-1-(thiophen-2-yl)propan-1-ol (6r)[5]: white solid, 97% yield. $[\alpha]_D^{22}$ = 19.7 (*c* = 1.98, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.28-7.20 (m, 3H), 6.98-6.96 (m, 1H), 6.92-6.84 (m, 4H), 5.19 (t, *J* = 5.8 Hz, 1H), 3.21 (t, *J* = 5.0 Hz, 4H), 2.79-2.61 (m, 6H), 2.03-1.98 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0. 149.3. 129.1. 126.6. 123.8. 122.3. 120.0, 116.2, 71.9, 56.7, 53.2, 49.2, 33.7. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 13.4 min (major), t_R = 10.9 min (minor).



(*S*)-1-Phenyl-4-(4-phenylpiperazin-1-yl)butan-2-ol (6s)[5]: white solid, 11% yield. $[\alpha]_D^{22}$ = -6.05 (*c* = 0.63, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.31-7.18 (m, 7H), 6.90-6.84 (m, 3H), 4.07-4.01 (m, 1H), 3.18 (t, *J* = 4.9 Hz, 4H), 2.90-2.78 (m, 3H), 2.71-2.50 (m, 5H), 1.75-1.65 (m, 1H), 1.57-1.51 (m, 1H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.0, 138.9, 129.3, 129.1, 128.3, 126.1, 120.0, 116.2, 74.9, 57.8, 53.2, 49.2, 44.2, 30.8. **29% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 25.4 min (major), t_R = 19.2 min (minor).

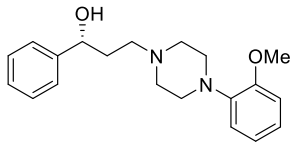


(*R*)-3-(4-(2-Fluorophenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6t)[5]: colorless oil, 96% yield. $[\alpha]_D^{22}$ = 30.0 (*c* = 1.28, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.32 (m, 4H), 7.26-7.22 (m, 1H), 7.07-6.92 (m, 4H), 4.95 (t, *J* = 5.7 Hz, 1H), 3.14 (s, 4H), 2.81-2.60 (m, 6H), 1.91-1.87 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 155.7 (d, $^1J_{C\text{-}F}$ = 244.3 Hz), 144.8, 139.8 (d, $^3J_{C\text{-}F}$ = 8.6 Hz), 128.2, 126.9, 125.5, 124.5 (d, $^4J_{C\text{-}F}$ = 3.5 Hz), 122.6 (d, $^3J_{C\text{-}F}$ = 7.8 Hz), 118.9 (d, $^4J_{C\text{-}F}$ = 2.8 Hz), 116.1 (d, $^2J_{C\text{-}F}$ = 20.6 Hz), 75.4, 57.0, 53.2, 50.5 (d, $^4J_{C\text{-}F}$ = 3.1 Hz), 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 12.7 min (major), t_R = 7.4 min (minor).

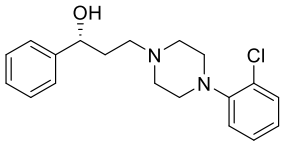


(*R*)-1-Phenyl-3-(4-(o-tolyl)piperazin-1-yl)propan-1-ol (6u)[5]: white solid, 92% yield. $[\alpha]_D^{22}$ = 34.0 (*c* = 1.35, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.31 (m, 4H), 7.23 (t, *J* = 7.1 Hz, 1H), 7.15 (t, *J* = 7.2 Hz, 2H), 7.01-6.95 (m, 2H), 4.94 (t, *J* = 5.7 Hz, 1H), 2.95-2.94 (m, 4H), 2.75-2.59 (m, 6H), 2.28 (s, 3H), 1.90-1.87 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.1, 144.8, 132.5, 131.0, 128.1, 126.8, 126.6, 125.5, 123.3, 119.0, 75.4, 57.0, 53.6, 51.6, 33.6, 17.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/

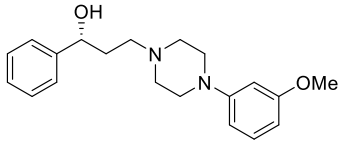
min; t_R = 9.0 min (major), t_R = 6.2 min (minor).



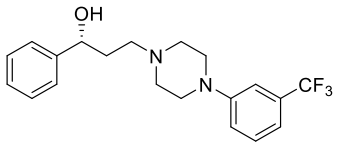
(*R*)-3-(4-(2-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6v)[5]: colorless oil, 97% yield. $[\alpha]_D^{22}$ = 24.7 (*c* = 1.43, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.40-7.32 (m, 4H), 7.24 (t, *J* = 6.8 Hz, 1H), 7.03-6.99 (m, 1H), 6.95-6.90 (m, 2H), 6.86 (d, *J* = 7.7 Hz, 1H), 4.96 (t, *J* = 5.7 Hz, 1H), 3.85 (s, 3H), 3.14-2.62 (m, 10H), 1.92-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 152.2, 144.9, 141.0, 128.2, 126.9, 125.5, 123.1, 121.0, 118.3, 111.2, 75.5, 57.1, 55.3, 53.4, 50.6, 33.6. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 13.0 min (major), t_R = 8.9 min (minor).



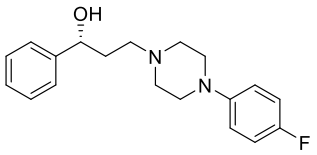
(*R*)-3-(4-(2-Chlorophenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6w)[5]: colorless oil, 90% yield. $[\alpha]_D^{22}$ = 14.5 (*c* = 0.93, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.40-7.33 (m, 5H), 7.27-7.20 (m, 2H), 7.05-6.95 (m, 2H), 4.97 (t, *J* = 5.6 Hz, 1H), 3.12-2.63 (m, 10H), 1.92-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 149.0, 144.8, 130.6, 128.8, 128.2, 127.7, 126.9, 125.5, 123.9, 120.5, 75.6, 57.1, 53.4, 51.2, 33.6. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 12.1 min (major), t_R = 7.4 min (minor).



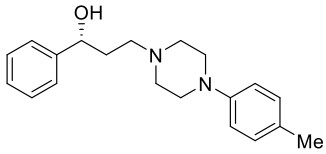
(*R*)-3-(4-(3-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6x)[5]: white solid, 94% yield. $[\alpha]_D^{22}$ = 35.7 (*c* = 2.00, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.32 (m, 4H), 7.26-7.22 (m, 1H), 7.17 (t, *J* = 8.2 Hz, 1H), 6.54-6.52 (m, 1H), 6.47-6.41 (m, 2H), 4.94 (t, *J* = 5.7 Hz, 1H), 3.77 (s, 3H), 3.23 (t, *J* = 5.0 Hz, 4H), 2.79-2.70 (m, 3H), 2.65-2.58 (m, 3H), 1.92-1.87 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 160.5, 152.4, 144.7, 129.8, 128.2, 126.9, 125.5, 108.9, 104.7, 102.6, 75.3, 57.0, 55.1, 53.1, 49.1, 33.7. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 20.5 min (major), t_R = 14.2 min (minor).



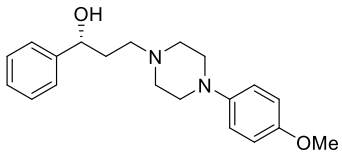
(*R*)-1-Phenyl-3-(4-(3-(trifluoromethyl)phenyl)piperazin-1-yl)propan-1-ol (6y)[5]: colorless oil, 99% yield. $[\alpha]_D^{22}$ = 27.9 (*c* = 2.70, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.30-7.22 (m, 5H), 7.17-7.14 (m, 1H), 7.02-6.94 (m, 3H), 4.85 (t, *J* = 5.7 Hz, 1H), 3.17 (t, *J* = 4.9 Hz, 4H), 2.69-2.60 (m, 3H), 2.55-2.48 (m, 3H), 1.83-1.79 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 151.1, 144.7, 131.4 (q, $^2J_{C\text{-}F}$ = 31.6 Hz). 129.6, 128.2, 127.0, 125.5, 124.3 (q, $^1J_{C\text{-}F}$ = 270.9 Hz), 118.8, 116.1 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 112.3 (q, $^3J_{C\text{-}F}$ = 3.8 Hz), 75.3, 56.9, 52.9, 48.7, 33.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 11.9 min (major), t_R = 7.7 min (minor).



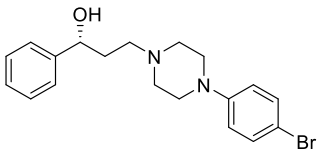
(*R*)-3-(4-(4-Fluorophenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6z)[5]: colorless oil, 97% yield. $[\alpha]_D^{22}$ = 25.2 (*c* = 1.28, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.33 (m, 4H), 7.27-7.23 (m, 1H), 7.00-6.94 (m, 2H), 6.89-6.86 (m, 2H), 4.96 (t, *J* = 5.6 Hz, 1H), 3.17 (t, *J* = 4.8 Hz, 4H), 2.82-2.72 (m, 3H), 2.68-2.61 (m, 3H), 1.93-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 157.4 (d, $^1J_{C\text{-}F}$ = 237.7 Hz), 147.8, 144.8, 128.3, 127.0, 125.5, 118.1 (d, $^3J_{C\text{-}F}$ = 7.7 Hz), 115.6 (d, $^2J_{C\text{-}F}$ = 22.0 Hz), 75.5, 57.0, 53.2, 50.3, 33.7. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.3 min (major), t_R = 10.3 min (minor).



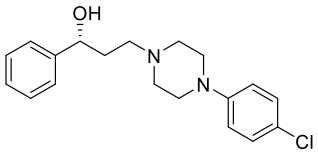
(*R*)-1-Phenyl-3-(4-(p-tolyl)piperazin-1-yl)propan-1-ol (6aa)[5]: colorless oil, 96% yield. $[\alpha]_D^{22}$ = 48.6 (*c* = 1.25, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.33 (m, 4H), 7.27-7.23 (m, 1H), 7.08 (d, *J* = 8.3 Hz, 2H), 6.85 (d, *J* = 8.4 Hz, 2H), 4.96 (t, *J* = 5.6 Hz, 1H), 3.20 (t, *J* = 4.8 Hz, 4H), 2.83-2.73 (m, 3H), 2.69-2.62 (m, 3H), 2.27 (s, 3H), 1.93-1.91 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 149.0, 144.8, 129.7, 129.6, 128.3, 127.0, 125.6, 116.7, 75.5, 57.1, 53.3, 49.8, 33.7, 20.5. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 11.1 min (major), t_R = 9.0 min (minor).



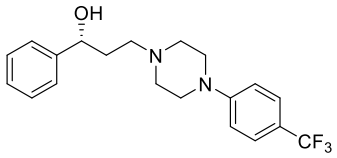
(*R*)-3-(4-(4-Methoxyphenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6ab)[5]: yellow oil, 83% yield. $[\alpha]_D^{22}$ = 47.4 (*c* = 1.45, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.32 (m, 4H), 7.27-7.23 (m, 1H), 6.91-6.88 (m, 2H), 6.86-6.83 (m, 2H), 4.96 (t, *J* = 5.6 Hz, 1H), 3.76 (s, 3H), 3.14 (t, *J* = 4.9 Hz, 4H), 2.82-2.72 (m, 3H), 2.68-2.61 (m, 3H), 1.92-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 154.0, 145.4, 144.8, 128.3, 127.0, 125.5, 118.4, 114.5, 75.5, 57.1, 55.6, 53.4, 50.8, 33.7. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 18.2 min (major), t_R = 13.4 min (minor).



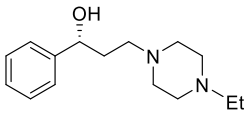
(*R*)-3-(4-(4-Bromophenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6ac)[5]: white solid, 95% yield. $[\alpha]_D^{22}$ = 22.2 (*c* = 1.30, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.33 (m, 6H), 7.26-7.23 (m, 1H), 6.80-6.76 (m, 2H), 4.95 (t, *J* = 5.7 Hz, 1H), 3.20 (t, *J* = 5.0 Hz, 4H), 2.79-2.71 (m, 3H), 2.65-2.59 (m, 3H), 1.92-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 150.1, 144.7, 131.9, 128.3, 127.0, 125.5, 117.8, 112.1, 75.4, 57.0, 53.0, 49.1, 33.7. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 16.4 min (major), t_R = 12.9 min (minor).



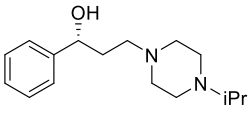
(*R*)-3-(4-(4-Chlorophenyl)piperazin-1-yl)-1-phenylpropan-1-ol (6ad)[5]: white solid, 90% yield. $[\alpha]_D^{22}$ = 39.3 (*c* = 3.22, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.39-7.33 (m, 4H), 7.27-7.20 (m, 3H), 6.83 (d, *J* = 8.9 Hz, 2H), 4.95 (t, *J* = 5.6 Hz, 1H), 3.21 (t, *J* = 5.0 Hz, 4H), 2.80-2.72 (m, 3H), 2.67-2.60 (m, 3H), 1.93-1.88 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 149.7, 144.7, 129.0, 128.3, 127.0, 125.5, 124.9, 117.4, 75.4, 57.0, 53.1, 49.3, 33.7. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 15.4 min (major), t_R = 11.8 min (minor).



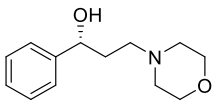
(*R*)-1-Phenyl-3-(4-(4-(trifluoromethyl)phenyl)piperazin-1-yl)propan-1-ol (6ae)[5]: white solid, 89% yield. $[\alpha]_D^{22}$ = 33.4 (*c* = 1.78, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.49 (d, *J* = 8.7 Hz, 2H), 7.39-7.33 (m, 4H), 7.28-7.24 (m, 1H), 6.92 (d, *J* = 8.7 Hz, 2H), 4.96 (t, *J* = 5.7 Hz, 1H), 3.33 (t, *J* = 5.0 Hz, 4H), 2.80-2.72 (m, 3H), 2.67-2.60 (m, 3H), 1.94-1.89 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 153.1, 144.7, 128.3, 127.1, 126.5 (q, $^3J_{C\text{-}F}$ = 3.7 Hz). 124.7 (q, $^1J_{C\text{-}F}$ = 268.9 Hz), 120.9 (q, $^2J_{C\text{-}F}$ = 32.7 Hz), 114.7, 75.4, 57.0, 52.9, 48.0, 33.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 13.3 min (major), t_R = 10.9 min (minor).



(*R*)-3-(4-Ethylpiperazin-1-yl)-1-phenylpropan-1-ol (6af)[5]: white solid, 99% yield. $[\alpha]_D^{22}$ = 21.5 (*c* = 2.22, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.28-7.21 (m, 4H), 7.16-7.12 (m, 1H), 4.81 (t, *J* = 5.0 Hz, 1H), 2.58-2.28 (m, 12H), 1.77-1.75 (m, 2H), 1.01-0.97 (m, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.8, 128.0, 126.7, 125.3, 75.0, 56.7, 52.9, 52.6, 52.0, 33.7, 11.8. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 97:3; flow rate = 1.0 mL/min; t_R = 19.1 min (major), t_R = 13.7 min (minor).

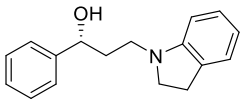


(*R*)-3-(4-Isopropylpiperazin-1-yl)-1-phenylpropan-1-ol (6ag)[5]: colorless oil, 99% yield. $[\alpha]_D^{22}$ = 27.0 (*c* = 4.18, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.37-7.30 (m, 4H), 7.26-7.21 (m, 1H), 4.92 (t, *J* = 5.6 Hz, 1H), 2.70-2.52 (m, 11H), 1.86-1.81 (m, 2H), 1.05 (s, 3H), 1.03 (s, 3H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.9, 128.1, 126.8, 125.5, 75.5, 57.0, 54.4, 53.4, 48.6, 33.6, 18.6. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 97:3; flow rate = 1.0 mL/min; t_R = 14.5 min (major), t_R = 10.5 min (minor).

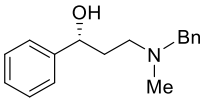


(*R*)-3-Morpholino-1-phenylpropan-1-ol (6ah)[5]: colorless oil, 97% yield. $[\alpha]_D^{22}$ = 15.5 (*c* = 2.25, $CHCl_3$).**^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.32 (m, 4H), 7.26-7.23 (m, 1H), 4.94 (t, *J* = 5.7 Hz, 1H), 3.76 (t, *J* = 4.6 Hz, 4H), 2.72-2.51 (m, 6H), 1.90-1.85 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.7, 128.3, 127.0, 125.5, 75.5, 66.9, 57.6, 53.7, 33.4. **98% *ee*, HPLC cond**

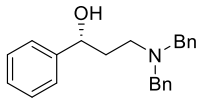
itions: Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 97:3; f low rate = 1.0 mL/min; t_R = 23.4 min (major), t_R = 17.9 min (minor).



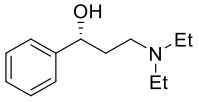
(*R*)-3-(Indolin-1-yl)-1-phenylpropan-1-ol (6ai)[5]: colorless oil, 98% yi eld. $[\alpha]_D^{22}$ = 17.9 (*c* = 1.50, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.42-7.36 (m, 4H), 7.32-7.28 (m, 1H), 7.13-7.08 (m, 2H), 6.7 4 (t, *J* = 7.3 Hz, 1H), 6.56 (d, *J* = 7.8 Hz, 1H), 4.97-4.94 (m, 1H), 3.46-3.32 (m, 2H), 3.29-3.18 (m, 2H), 2.99 (t, *J* = 8.2 Hz, 2H), 2.10-2.05 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 152.3, 144.5, 130.5, 128.5, 127.5, 127.4, 125.8, 124.6, 118.8, 108.3, 73.8, 54.0, 48.2, 36.0, 28.6. **97% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 15.0 min (majo r), t_R = 15.9 min (minor).



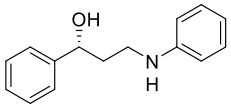
(*R*)-3-(Benzyl(methyl)amino)-1-phenylpropan-1-ol (6aj)[5]: yellow oil, 95% yield. $[\alpha]_D^{22}$ = 53.7 (*c* = 0.78, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.36-7.20 (m, 10H), 4.90 (dd, *J* = 7.8, 3.8 Hz, 1H), 3.64 (d, *J* = 12.8 Hz, 1H), 3.46 (d, *J* = 12.8 Hz, 1H), 2.83-2.77 (m, 1H), 2.61-2.56 (m, 1H), 2.25 (s, 3H), 1.94-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.9, 137.7, 129.2, 1 28.5, 128.2, 127.4, 126.8, 125.5, 75.7, 62.8, 56.5, 41.8, 34.5. **96% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow ra te = 1.0 mL/min; t_R = 7.6 min (major), t_R = 6.3 min (minor).



(*R*)-3-(Dibenzyl amino)-1-phenylpropan-1-ol (6ak)[5]: colorless oil, 95% yield. $[\alpha]_D^{22}$ = 11.5 (*c* = 1.55, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.18 (m, 15H), 6.32 (brs, 1H), 4.70 (d, *J* = 8.5 Hz, 1H), 3. 82 (d, *J* = 13.1 Hz, 2H), 3.37 (d, *J* = 13.1 Hz, 2H), 2.85-2.79 (m, 1 H), 2.63-2.59 (m, 1H), 1.97-1.79 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.7, 137.8, 129.4, 128.5, 128.1, 127.4, 126.8, 125.5, 75.2, 58.5, 52.3, 34.8. **96% *ee*, HPLC co nditions:** Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 97:3; flow rate = 1.0 mL/min; t_R = 14.2 min (major), t_R = 17.6 min (minor).

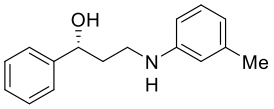


(*R*)-3-(Diethylamino)-1-phenylpropan-1-ol (6al)[5]: yellow oil, 22% yield. $[\alpha]_D^{22}$ = 9.3 (*c* = 0.85, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.30 (m, 4H), 7.24-7.21 (m, 1H), 4.90 (t, *J* = 5.0 Hz, 1H), 2.85-2. 51 (m, 6H), 1.87-1.82 (m, 2H), 1.11 (t, *J* = 7.2 Hz, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 145.0, 128.1, 126.9, 125.5, 74.9, 52.0, 46.6, 34.2, 11.0. **96% *ee*, HPLC conditio ns:** Chiralcel OD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 88:12; flo w rate = 1.0 mL/min; t_R = 4.9 min (major), t_R = 6.5 min (minor).

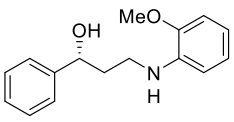


(*R*)-1-Phenyl-3-(phenylamino)propan-1-ol (6am)[6]: white solid, 97% y ield. $[\alpha]_D^{22}$ = 37.9 (*c* = 1.00, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.30 (m, 5H), 7.21-7.17 (m, 2H), 6.74 (t, *J* = 7.3 Hz, 1 H), 6.66-6.63 (m, 2H), 4.92-4.89 (m, 1H), 3.29 (t, *J* = 6.4 Hz, 2H), 2.13-2.00 (m, 2H); **^{1} ^{3}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 148.1, 144.4, 129.3, 128.6, 127.7, 125.7, 118.0, 11

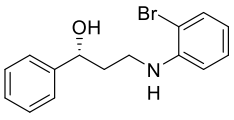
3.5, 73.7, 41.9, 38.2. **94% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 29.4 min (major), t_R = 26.6 min (minor).



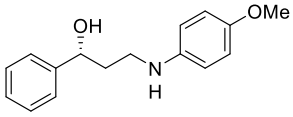
(*R*)-1-Phenyl-3-(m-tolylamino)propan-1-ol (6an)[6]: colorless oil, 96% yield. $[\alpha]_D^{22}$ = 20.2 (*c* = 1.58, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.38-7.33 (m, 5H), 7.13-7.09 (m, 1H), 6.61-6.59 (m, 1H), 6.47 (s, 2H), 4.87 (t, *J* = 5.8 Hz, 1H), 3.27 (t, *J* = 6.4 Hz, 4H), 2.32-2.30 (m, 3H), 2.08-2.02 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 148.2, 144.4, 139.0, 129.1, 128.5, 127.6, 125.7, 118.8, 114.1, 110.5, 73.6, 41.7, 38.2, 21.6. **94% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 29.3 min (major), t_R = 23.9 min (minor).



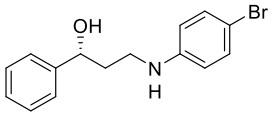
(*R*)-3-((2-Methoxyphenyl)amino)-1-phenylpropan-1-ol (6ao)[6]: colorless oil, 93% yield. $[\alpha]_D^{22}$ = 19.1 (*c* = 2.40, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.40-7.35 (m, 4H), 7.32-7.28 (m, 1H), 6.92-6.88 (m, 1H), 6.81-6.79 (m, 1H), 6.74-6.70 (m, 1H), 6.67-6.65 (m, 1H), 4.91-4.88 (m, 1H), 3.86 (s, 3H), 3.29 (t, *J* = 6.5 Hz, 2H), 2.15-2.04 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 147.1, 144.4, 138.1, 128.5, 127.5, 125.8, 121.3, 116.9, 110.5, 109.5, 73.4, 55.4, 41.3, 38.3. **94% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 93:7; flow rate = 1.0 mL/min; t_R = 17.4 min (major), t_R = 18.8 min (minor).



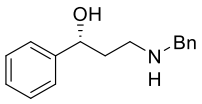
(*R*)-3-((2-Bromophenyl)amino)-1-phenylpropan-1-ol (6ap)[6]: colorless oil, 94% yield. $[\alpha]_D^{22}$ = 13.5 (*c* = 1.18, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.46-7.29 (m, 6H), 7.19 (t, *J* = 8.0 Hz, 1H), 6.66-6.58 (m, 2H), 4.89-4.86 (m, 1H), 3.35-3.25 (m, 2H), 2.18-2.02 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 145.0, 144.2, 132.4, 128.6, 128.5, 127.8, 125.7, 117.8, 111.5, 109.9, 73.2, 41.1, 37.9. **94% *ee*, HPLC conditions:** Chiralcel AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 98:2; flow rate = 0.5 mL/min; t_R = 71.1 min (major), t_R = 66.7 min (minor).



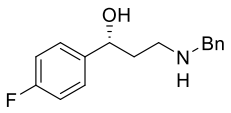
(*R*)-3-((4-Methoxyphenyl)amino)-1-phenylpropan-1-ol (6aq)[6]: yellow oil, 94% yield. $[\alpha]_D^{22}$ = 30.5 (*c* = 2.38, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.37-7.34 (m, 4H), 7.31-7.27 (m, 1H), 6.80-6.78 (m, 2H), 6.65-6.62 (m, 2H), 4.91 (t, *J* = 6.0 Hz, 1H), 3.75 (s, 3H), 3.26 (t, *J* = 6.2 Hz, 2H), 2.06-2.02 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 152.7, 144.5, 142.2, 128.6, 127.6, 125.7, 115.1, 114.9, 74.0, 55.8, 43.2, 38.3. **94% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 39.4 min (major), t_R = 34.1 min (minor).



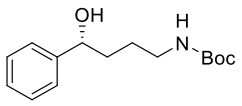
(*R*)-3-((4-Bromophenyl)amino)-1-phenylpropan-1-ol (6ar)[6]: colorless oil, 97% yield. $[\alpha]_D^{22}$ = 14.3 (*c* = 1.33, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.25 (m, 5H), 7.22-7.19 (m, 2H), 6.43-6.40 (m, 2H), 4.80-4.77 (m, 1H), 3.21-3.14 (m, 4H), 2.02-1.92 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 147.2, 144.2, 131.9, 128.6, 127.8, 125.7, 114.7, 109.1, 73.4, 41.5, 37.8. **95% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 39.2 min (major), t_R = 41.9 min (minor).



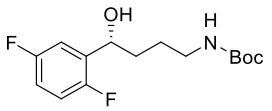
(*R*)-3-(Benzylamino)-1-phenylpropan-1-ol (6as)[6]: yellow oil, 92% yield. $[\alpha]_D^{22}$ = 31.9 (*c* = 1.25, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.34-7.19 (m, 10H), 4.91 (dd, *J* = 8.6, 3.1 Hz, 1H), 3.80-3.72 (m, 2H), 2.95-2.81 (m, 2H), 1.92-1.85 (m, 1H), 1.80-1.72 (m, 1H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 145.0, 139.2, 128.5, 128.2, 128.1, 127.2, 126.9, 125.5, 75.4, 53.7, 47.7, 37.3. **97% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 97:3; flow rate = 1.0 mL/min; t_R = 35.8 min (major), t_R = 42.9 min (minor).



(*R*)-3-(Benzylamino)-1-(4-fluorophenyl)propan-1-ol (6at)[6]: yellow oil, 63% yield. $[\alpha]_D^{22}$ = 19.4 (*c* = 1.08, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.37-7.27 (m, 7H), 7.00 (t, *J* = 8.7 Hz, 2H), 4.93 (dd, *J* = 8.6, 2.6 Hz, 1H), 3.86-3.78 (m, 2H), 3.01-2.86 (m, 2H), 1.92-1.86 (m, 1H), 1.81-1.72 (m, 1H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 161.8 (d, $^1J_{C\text{-}F}$ = 242.7 Hz), 140.7, 138.9, 128.5 (d, $^2J_{C\text{-}F}$ = 25.7 Hz), 127.4, 127.1 (d, $^3J_{C\text{-}F}$ = 7.8 Hz), 115.0, 114.8, 74.9, 53.7, 47.6, 37.3. **93% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 21.1 min (major), t_R = 17.8 min (minor).

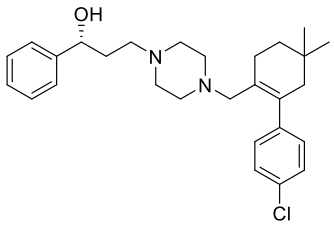


***tert*-butyl (*R*)-(4-Hydroxy-4-phenylbutyl)carbamate (6au)[4]:** yellow oil, 94% yield. $[\alpha]_D^{22}$ = 16.6 (*c* = 1.60, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.24 (m, 5H), 4.68-4.65 (m, 2H), 3.13-3.12 (m, 2H), 2.55 (brs, 1H), 1.82-1.66 (m, 2H), 1.63-1.46 (m, 2H), 1.42 (s, 9H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 156.1, 144.8, 128.4, 127.5, 125.8, 79.1, 74.0, 40.3, 36.0, 28.4, 26.4. **95% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 20.0 min (major), t_R = 17.4 min (minor).

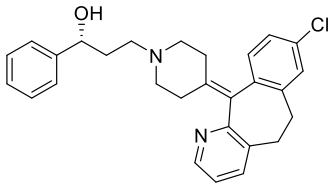


***tert*-butyl (*R*)-(4-(2,5-Difluorophenyl)-4-hydroxybutyl)carbamate (6av)[4]:** yellow oil, 97% yield. $[\alpha]_D^{22}$ = 4.8 (*c* = 1.30, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.21-7.17 (m, 1H), 6.97-6.86 (m, 2H), 5.00 (t, *J* = 6.2 Hz, 1H), 4.64 (brs, 1H), 3.18-3.11 (m, 2H), 2.58 (m, 1H), 1.74 (q, *J* = 6.9 Hz, 2H), 1.68-1.52 (m, 2H), 1.42 (s, 9H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 159.0 (dd, *J* = 240.2, 1.8 Hz), 156.3, 155.4 (dd, *J* = 239.2, 2.5 Hz), 133.8 (dd, *J* = 17.3, 7.7 Hz), 116.3 (dd, *J* = 24.9, 8.5 Hz), 114.9 (dd, *J* = 24.4,

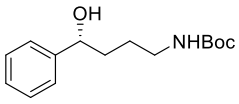
8.6 Hz), 113.8 (dd, *J* = 24.7, 5.0 Hz), 79.5, 67.5, 40.2, 34.8, 28.4, 26.4. **88% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 10.6 min (major), t_R = 10.0 min (minor).



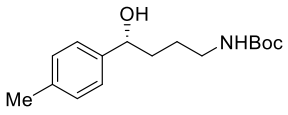
(*R*)-3-(4-((4'-Chloro-2,2-dimethyl-3,4,5,6-tetrahydro-[1,1'-biphenyl]-2-yl)methyl)piperazin-1-yl)-1-phenylpropan-1-ol (6aw): colorless oil, 66% yield. $[\alpha]_D^{22}$ = 38.0 (*c* = 1.03, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 7.35-7.20 (m, 7H), 6.96-6.94 (m, 2H), 4.89 (dd, *J* = 7.5, 3.6 Hz, 1H), 2.79-1.99 (m, 16H), 1.87-1.76 (m, 2H), 1.44 (t, *J* = 6.4 Hz, 2H), 0.96 (s, 6H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 144.9, 142.2, 134.9, 132.0, 129.7, 129.4, 128.3, 128.2, 126.8, 125.5, 75.5, 60.4, 56.9, 53.3, 52.8, 47.0, 35.4, 33.6, 29.2, 28.3, 28.2, 25.6. **99% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 6.0 min (major), t_R = 4.5 min (minor). **HRMS (ESI)** *m/z* calc. for $C_{28}H_{38}ClN_2O$ $[M+H]^+$: 453.2667, found: 453.2670.



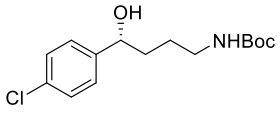
(*R*)-3-(4-(8-Chloro-5,6-dihydro-8H-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-ylidene)piperidin-1-yl)-1-phenylpropan-1-ol (6ax): yellow oil, 72% yield. $[\alpha]_D^{22}$ = 3.6 (*c* = 1.35, $CHCl_3$). **^{1}H NMR** ($CDCl_3$, 400 MHz) δ (ppm): 8.38 (d, *J* = 4.5 Hz, 1H), 7.41-7.27 (m, 5H), 7.21 (t, *J* = 7.2Hz, 1H), 7.14-7.12 (m,3H), 7.07-7.04 (m, 1H), 4.92 (t, *J* = 5.7 Hz, 1H), 3.42-3.30 (m, 2H), 2.93-2.73 (m, 4H), 2.67-2.32 (m, 7H), 2.19-2.15 (m, 1H), 1.86-1.82 (m, 2H); **^{13}C NMR** ($CDCl_3$, 100 MHz) δ (ppm): 157.2 (d, *J* = 4.1 Hz), 146.5, 144.8 (d, *J* = 2.5 Hz), 139.4 (d, *J* = 2.6 Hz), 137.9 (d, *J* = 3.1 Hz), 137.6 (d, *J* = 3.5 Hz), 137.2 (d, *J* = 2.6 Hz), 133.2, 133.0, 132.6, 130.6 (d, *J* = 3.3 Hz), 128.8 (d, *J* = 2.3 Hz), 128.0, 126.7 (d, *J* = 2.3 Hz), 125.9, 125.4, 122.0, 75.2 (d, *J* = 9.4 Hz), 56.7 (d, *J* = 9.8 Hz), 55.1 (d, *J* = 6.7 Hz), 54.4 (d, *J* = 9.1 Hz), 33.9, 31.6 (d, *J* = 2.5 Hz), 31.3 (d, *J* = 2.4 Hz), 30.7 (d, *J* = 6.3 Hz), 30.5 (d, *J* = 6.8 Hz). **99% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 26.6 min (major), t_R = 21.2 min (minor). **HRMS (ESI)** *m/z* calc. for $C_{28}H_{30}ClN_2O$ $[M+H]^+$: 445.2041, found: 445.2044.



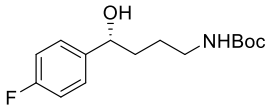
***tert*-butyl (*R*)-(4-Hydroxy-4-phenylbutyl)carbamate (6au,** Synthesis via ATH)[4]**:** Pale yellow oil, 98% yield. $[\alpha]_D^{25}$ = 12.9 (*c* = 1.68, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36-7.24 (m, 5H), 4.71-4.68 (m, 1H), 4.58 (brs, 1H), 3.16-3.15 (m, 2H), 1.85-1.68 (m, 2H), 1.66-1.48 (m, 2H), 1.43 (s, 9H); **^{13}C NMR (100** MHz, $CDCl_3$) δ 156.1, 144.7, 128.5, 127.6, 125.8, 79.2, 74.2, 40.4, 36.1, 28.5, 26.5. **95% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 18.5 min (major), t_R = 14.6 min (minor).



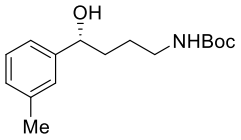
***tert*-butyl (*R*)-(4-Hydroxy-4-(p-tolyl)butyl)carbamate (8a)[4]:** Color less oil, 76% yield. $[\alpha]_D^{25}$ = 18.5 (*c* = 3.45, $CHCl_3$). **^{1}H NMR** (4 00 MHz, $CDCl_3$) δ 7.19 (d, *J* = 8.0 Hz, 2H), 7.11 (d, *J* = 7.9 Hz, 2H), 4.71 (brs, 1H), 4.61-4.58 (m, 1H), 3.08 (s, 2H), 2.80 (brs, 1H), 2.32 (s, 3H), 1. 79-1.61 (m, 2H), 1.59-1.41 (m, 11H); **^{13}C NMR (100** MHz, $CDCl_3$) δ 156.1, 141.8, 136.9, 129.0, 125.7, 79.0, 73.7, 40.3, 36.0, 28.3, 26.3, 21.0. **96% *ee*, HPLC conditions:** Chiral pak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 16.7 min (major), t_R = 15.1 min (minor).



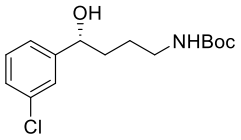
***tert*-butyl (*R*)-(4-(4-Chlorophenyl)-4-hydroxybutyl)carbamate (8b)[4]:** Colorless oil, 92% yield. $[\alpha]_D^{25}$ = 10.0 (*c* = 1.75, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.32-7.26 (m, 4H), 4.71-4.68 (m, 1H), 4.59 (brs, 1H), 3.16 (s, 2H), 1.81-1.66 (m, 2H), 1.64-1.43 (m, 11H); **^{13}C NMR (100** M Hz, $CDCl_3$) δ 156.2, 143.3, 133.2, 128.6, 127.2, 79.3, 73.5, 40.2, 36.0, 28.4, 26.5. **90% *e e*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopro panol = 90:10; flow rate = 1.0 mL/min; t_R = 15.7 min (major), t_R = 14.5 min (minor).



***tert*-butyl (*R*)-(4-(4-Fluorophenyl)-4-hydroxybutyl)carbamate (8c)[4]:** Colorless oil, 86% yield. $[\alpha]_D^{25}$ = 15.0 (*c* = 1.53, $CHCl_3$). **^{1}H NM R** (400 MHz, $CDCl_3$) δ 7.31-7.27 (m, 2H), 7.03-6.99 (m, 2H), 4.6 9-4.66 (m, 2H), 3.13 (s, 2H), 2.50 (brs, 1H), 1.81-1.47 (m, 4H), 1.42 (s, 9H); **^{13}C NMR (100** MHz, $CDCl_3$) δ 162.1 (d, $^1J_{C\text{-}F}$ = 243.6 Hz), 156.2, 140.5, 127.4 (d, $^3J_{C\text{-}F}$ = 7.9 Hz), 115.2 (d, $^2J_{C\text{-}F}$ = 21.2 Hz), 79.3, 73.4, 40.2, 36.1, 28.4, 26.5. **92% *ee*, HPLC condition s:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 13.4 min (major), t_R = 11.3 min (minor).

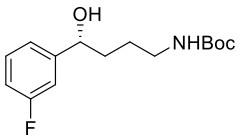


***tert*-butyl (*R*)-(4-Hydroxy-4-(m-tolyl)butyl)carbamate (8d)[4]:** Colorles s oil, 82% yield. $[\alpha]_D^{25}$ = 7.5 (*c* = 1.40, $CHCl_3$). **^{1}H NMR** (400 MH z, $CDCl_3$) δ 7.20 (t, *J* = 7.4 Hz, 1H), 7.13-7.05 (m, 3H), 4.63-4.60 (m, 2H), 3.11 (s, 2H), 2.61 (brs, 1H), 2.34 (s, 3H), 1.81-1.64 (m, 2 H), 1.59-1.42 (m, 11H); **^{13}C NMR (100** MHz, $CDCl_3$) δ 156.1, 144.7, 138.0, 128.3, 128.2, 126.5, 122.9, 79.1, 74.0, 40.4, 36.0, 28.4, 26.4, 21.4. **93% *ee*, HPLC conditions:** Chiral pak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 19.1 min (major), t_R = 14.2 min (minor).

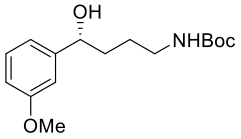


***tert*-butyl (*R*)-(4-(3-Chlorophenyl)-4-hydroxybutyl)carbamate (8e)[4]:** Colorless oil, 98% yield. $[\alpha]_D^{25}$ = 14.5 (*c* = 3.58, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.33-7.17 (m, 4H), 4.71-4.63 (m, 2H), 3.11 (s, 2H), 2.98 (brs, 1H), 1.78-1.63 (m, 2H), 1.62-1.47 (m, 2H), 1.41 (s, 9H); **^{13}C NMR (100** MHz, $CDCl_3$) δ 156.3, 147.0, 134.2, 129.6, 127.4, 126.0, 124.0, 79. 3, 73.2, 40.2, 36.0, 28.4, 26.3. **87% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 16.9

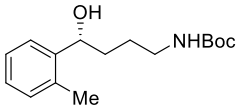
min (major), t_R = 12.2 min (minor).



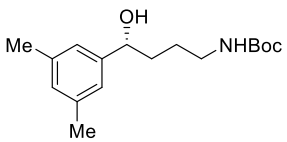
***tert-butyl* (*R*)-(4-(3-Fluorophenyl)-4-hydroxybutyl)carbamate (8f)[4]:** Colorless oil, 95% yield. $[\alpha]_D^{25}$ = 6.4 (*c* = 1.08, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) (400 MHz, CDCl3) δ 7.31-7.28 (m, 1H), 7.10-7.05 (m, 2H), 6.96-6.92 (m, 1H), 4.71 (t, *J* = 5.8 Hz, 1H), 4.60 (brs, 1H), 3.15 (s, 2H), 2.20 (brs, 1H), 1.81-1.67 (m, 2H), 1.64-1.48 (m, 2H), 1.42 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.0 (d, $^1J_{C\text{-}F}$ = 244.6 Hz), 156.2, 147.5, 130.0 (d, $^3J_{C\text{-}F}$ = 8.1 Hz), 121.4 (d, $^4J_{C\text{-}F}$ = 2.8 Hz), 114.3 (d, $^2J_{C\text{-}F}$ = 21.0 Hz), 112.7 (d, ^{2} $J_{C\text{-}F}$ = 21.7 Hz), 79.4, 73.5, 40.2, 36.0, 28.4, 26.5. **90% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 15.8 min (major), t_R = 12.0 min (minor).



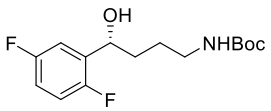
***tert*-butyl (*R*)-(4-Hydroxy-4-(3-methoxyphenyl)butyl)carbamate (8g)[4]:** Colorless oil, 85% yield. $[\alpha]_D^{25}$ = 14.7 (*c* = 2.10, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.24 (t, *J* = 8.1 Hz, 1H), 6.90-6.89 (m, 2H), 6.81-6.79 (m, 1H), 4.68-4.62 (m, 2H), 3.80 (s, 3H), 3.14 (s, 2H), 2.28 (brs, 1H), 1.83-1.67 (m, 2H), 1.64-1.46 (m, 2H), 1.42 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 159.8, 156.1, 146.5, 129.5, 118.1, 113.0, 111.3, 79.2, 74.1, 55.2, 40.3, 36.0, 28.4, 26.5. **92% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 32.4 min (major), t_R = 23.3 min (minor).



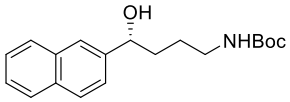
***tert*-butyl (*R*)-(4-Hydroxy-4-(o-tolyl)butyl)carbamate (8h)[4]:** yellow solid, 46% yield. $[\alpha]_D^{25}$ = 5.5 (*c* = 1.08, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.45 (d, *J* = 7.6 Hz, 1H), 7.23-7.10 (m, 3H), 4.94-4.91 (m, 1H), 3.17-3.11 (m, 2H), 2.31 (s, 3H), 1.74-1.53 (m, 4H), 1.42 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 142.9, 134.3, 130.4, 127.2, 126.3, 125.1, 79.2, 70.3, 40.5, 34.9, 28.4, 26.6, 19.0; **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 35.7 min (major), t_R = 33.1 min (minor).



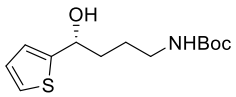
***tert*-butyl (*R*)-(4-(3,5-Dimethylphenyl)-4-hydroxybutyl)carbamate (8i)[4]:** Colorless oil, 81% yield. $[\alpha]_D^{25}$ = 16.6 (*c* = 1.50, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.93 (s, 2H), 6.89 (s, 1H), 4.61-4.57 (m, 2H), 3.12 (s, 2H), 2.40 (brs, 1H), 2.30 (s, 6H), 1.81-1.42 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 144.7, 137.9, 129.1, 123.6, 79.1, 74.1, 40.4, 36.0, 28.4, 26.5, 21.3. **91% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 17.9 min (major), t_R = 13.3 min (minor).



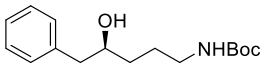
***tert*-butyl (*R*)-(4-(2,5-Difluorophenyl)-4-hydroxybutyl)carbamate (6av,** Synthesis via ATH)[4]**:** Pale yellow oil, 96% yield. $[\alpha]_D^{25}$ = 2.0 (*c* = 0.93, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.20-7.16 (m, 1H), 6.96-6.84 (m, 2H), 4.99 (t, *J* = 6.2 Hz, 1H), 4.69 (brs, 1H), 3.12-2.98 (m, 3H), 1.75-1.68 (m, 2H), 1.66-1.49 (m, 2H), 1.40 (s, 9H); **^{13}C NMR (**100 MHz, $CDCl_3$) δ 159.0 (dd, *J* = 242.6, 2.0 Hz), 156.4, 155.3 (dd, *J* = 239.1, 2.1 Hz), 133.9 (dd, *J* = 15.7, 6.6 Hz), 116.2 (dd, *J* = 24.9, 8.6 Hz), 114.8 (dd, *J* = 24.2, 8.6 Hz), 113.8 (dd, *J* = 24.8, 5.0 Hz), 79.4, 67.4, 40.2, 34.8, 28.4, 26.23. **85% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 15.5 min (major), t_R = 11.3 min (minor).



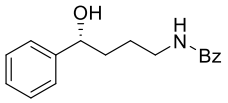
***tert*-butyl (*R*)-(4-Hydroxy-4-(naphthalen-2-yl)butyl)carbamate (8j)[4]:** Colorless oil, 84% yield. $[\alpha]_D^{25}$ = 23.1 (*c* = 2.58, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.81-7.78 (m, 3H), 7.73 (s, 1H), 7.46-7.42 (m, 3H), 4.80-4.79 (m, 1H), 4.67 (brs, 1H), 3.11 (s, 2H), 2.89 (brs, 1H), 1.87-1.72 (m, 2H), 1.57-1.41 (m, 11H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 142.1, 133.2, 132.9, 128.2, 127.9, 127.6, 126.1, 125.7, 124.5, 124.0, 79.1, 74.0, 40.2, 35.8, 28.4, 26.4. **91% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 29.5 min (major), t_R = 22.8 min (minor).



***tert*-butyl (*R*)-(4-Hydroxy-4-(thiophen-2-yl)butyl)carbamate (8k)[4]:** Colorless oil, 55% yield. $[\alpha]_D^{25}$ = 7.6 (*c* = 2.58, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.25-7.24 (m, 1H), 6.97-6.95 (m, 2H), 4.96 (t, *J* = 6.4 Hz, 1H), 4.59 (brs, 1H), 3.17 (s, 2H), 1.93-1.80 (m, 3H), 1.70-1.52 (m, 2H), 1.44 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.2, 148.9, 126.6, 124.4, 123.6, 79.2, 69.8, 40.2, 36.3, 28.4, 26.4. **95% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 15.5 min (major), t_R = 14.1 min (minor).

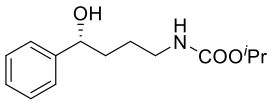


***tert*-butyl (*S*)-(4-Hydroxy-5-phenylpentyl)carbamate (8l)[4]:** Colorless oil, 34% yield. $[\alpha]_D^{25}$ = -2.1 (*c* = 1.90, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.31-7.28 (m, 2H), 7.23-7.18 (m, 3H), 4.73 (brs, 1H), 3.84-3.78 (m, 1H), 3.18-3.06 (m, 2H), 2.80-2.76 (m, 1H), 2.69-2.64 (m, 1H), 2.19 (brs, 1H), 1.68-1.47 (m, 4H), 1.43 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 138.4, 129.4, 128.5, 126.4, 79.1, 72.2, 44.2, 40.5, 33.6, 28.4, 26.4. **30% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 9.8 min (major), t_R = 11.8 min (minor).

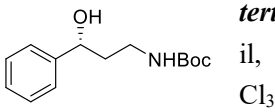


***(R)*-*N*-(4-Hydroxy-4-phenylbutyl)benzamide (8m)[4]:** Colorless oil, 68% yield. $[\alpha]_D^{25}$ = 11.8 (*c* = 1.35, $CHCl_3$).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.72-7.70 (m, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.30 (d, *J* = 4.3 Hz, 4H), 7.27-7.22 (m, 1H), 6.77 (brs, 1H), 4.70-4.67 (m, 1H), 3.46-3.36 (m, 2H), 3.03 (brs, 1H), 1.86-1.58 (m, 4H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.8,

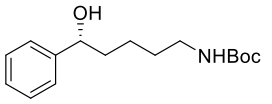
144.7, 134.5, 131.3, 128.45, 128.40, 127.4, 126.9, 125.8, 74.0, 39.9, 36.2, 25.9. **81% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 31.3 min (major), t_R = 25.1 min (minor).



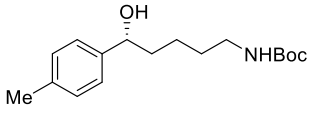
Isopropyl (*R*)-(4-Hydroxy-4-phenylbutyl)carbamate (8n)[4]: yellow oil, 94% yield. $[\alpha]_D^{25}$ = 13.2 (*c* = 1.58, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36-7.26 (m, 5H), 4.92-4.83 (m, 1H), 4.71-4.68 (m, 2H), 3.18 (t, *J* = 6.2 Hz, 2H), 2.15 (brs, 1H), 1.85-1.46 (m, 4H), 1.20 (d, *J* = 6.2 Hz, 6H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.5, 144.7, 128.5, 127.6, 125.8, 74.2, 68.0, 40.7, 36.0, 26.5, 22.2. **94% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 14.0 min (major), t_R = 12.9 min (minor).



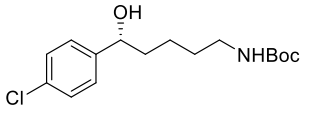
***tert*-butyl (*R*)-(3-Hydroxy-3-phenylpropyl)carbamate (8o)[4]:** Colorless oil, 84% yield. $[\alpha]_D^{25}$ = 3.7 (*c* = 1.25, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.34-7.25 (m, 5H), 5.00 (brs, 1H), 4.72 (t, *J* = 5.7 Hz, 1H), 3.43-3.42 (m, 2H), 3.19-3.11 (m, 1H), 1.86-1.81 (m, 2H), 1.44 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.8, 144.3, 128.4, 127.4, 125.7, 79.5, 71.7, 39.6, 37.6, 28.4. **96% *ee*, HPLC conditions:** Chiralcel OJ-H column, UV detection at 220 nm; *n*-hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; t_R = 7.9 min (major), t_R = 12.1 min (minor).



***tert*-butyl (*R*)-(2-Hydroxy-2-phenylpentyl)carbamate (8p)[4]:** Colorless oil, 94% yield. $[\alpha]_D^{25}$ = 7.7 (*c* = 1.68, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.37-7.32 (m, 4H), 7.28-7.23 (m, 1H), 4.66-4.58 (m, 2H), 3.08-3.07 (m, 2H), 2.28 (brs, 1H), 1.84-1.66 (m, 2H), 1.49-1.26 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 144.8, 128.4, 127.5, 125.8, 79.1, 74.3, 40.3, 38.6, 29.9, 28.4, 22.9. **95% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 29.2 min (major), t_R = 24.5 min (minor).

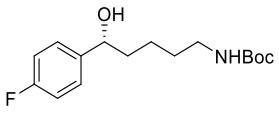


***tert*-butyl (*R*)-(2-Hydroxy-2-(p-tolyl)pentyl)carbamate (8q):** Pale yellow oil, 74% yield. $[\alpha]_D^{25}$ = 13.7 (*c* = 3.13, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.20 (d, *J* = 7.8 Hz, 2H), 7.13 (d, *J* = 7.8 Hz, 2H), 4.60-4.57 (m, 2H), 3.06 (s, 2H), 2.35-2.32 (m, 4H), 1.82-1.63 (m, 2H), 1.60-1.42 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.0, 141.8, 137.0, 129.0, 125.8, 79.0, 74.1, 40.3, 38.5, 30.0, 28.4, 22.9, 21.1. **97% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 26.8 min (major), t_R = 22.2 min (minor).

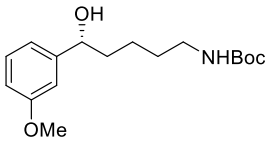


***tert*-butyl (*R*)-(2-(4-Chlorophenyl)-2-hydroxypentyl)carbamate (8r):** Colorless oil, 90% yield. $[\alpha]_D^{25}$ = 33.8 (*c* = 1.65, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.30-7.24 (m, 4H), 4.64-4.59 (m, 2H), 3.08-3.07 (m, 2H), 2.44 (brs, 1H), 1.81-1.62 (m, 2H), 1.48-1.26 (m, 13H); **^{13}C N**

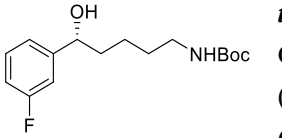
MR (100 MHz, $CDCl_3$) δ 156.1, 143.4, 133.0, 128.5, 127.2, 79.2, 73.5, 40.2, 38.6, 29.9, 28.4, 22.7. **91% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 26.5 min (major), t_R = 23.5 min (minor).



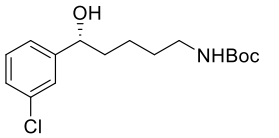
***tert*-butyl (*R*)-(2-(4-Fluorophenyl)-2-hydroxypentyl)carbamate (8 s):** Pale yellow oil, 92% yield. $[\alpha]_D^{25}$ = 13.1 (*c* = 1.93, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.30-7.27 (m, 2H), 7.00 (t, *J* = 8.6 Hz, 2H), 4.64-4.61 (m, 2H), 3.07 (s, 2H), 2.49 (brs, 1H), 1.82-1.62 (m, 2H), 1.50-1.26 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.1 (d, *J* = 243.6 Hz), 156.1, 140.6 (d, *J* = 3.2 Hz), 127.5 (d, *J* = 8.0 Hz), 115.1 (d, *J* = 21.2 Hz), 79.2, 73.6, 40.3, 38.7, 29.9, 28.4, 22.8. **93% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 21.9 min (major), t_R = 18.7 min (minor).



***tert*-butyl (*R*)-(2-Hydroxy-2-(3-methoxyphenyl)pentyl)carbamate (8t):** Colorless oil, 85% yield. $[\alpha]_D^{25}$ = 10.8 (*c* = 2.50, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.24 (t, *J* = 8.1 Hz, 1H), 6.90-6.89 (m, 2H), 6.81-6.79 (m, 1H), 4.64-4.58 (m, 2H), 3.80 (s, 3H), 3.08 (s, 2H), 2.25 (brs, 1H), 1.83-1.65 (m, 2H), 1.49-1.26 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 159.6, 156.0, 146.6, 129.3, 118.1, 112.7, 111.3, 79.0, 74.0, 55.1, 40.3, 38.5, 29.8, 28.3, 22.8. **96% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 54.1 min (major), t_R = 41.8 min (minor).

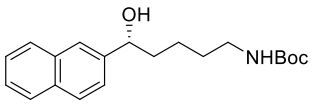


***tert*-butyl (*R*)-(2-(3-Fluorophenyl)-2-hydroxypentyl)carbamate (8u):** Colorless oil, 97% yield. $[\alpha]_D^{25}$ = 7.9 (*c* = 1.20, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.29-7.24 (m, 1H), 7.06 (t, *J* = 7.4 Hz, 2H), 6.92 (t, *J* = 8.6 Hz, 1H), 4.67-4.61 (m, 2H), 3.05 (m, 2H), 2.91 (brs, 1H), 1.78-1.64 (m, 2H), 1.46-1.26 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9 (d, *J* = 244.2 Hz), 156.2, 147.7 (d, *J* = 6.5 Hz), 129.8 (d, *J* = 8.1 Hz), 121.4 (d, *J* = 2.8 Hz), 114.0 (d, *J* = 21.1 Hz), 112.7 (d, *J* = 21.4 Hz), 79.1, 73.4, 40.2, 38.6, 29.8, 28.4, 22.7. **92% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 22.3 min (major), t_R = 19.2 min (minor).

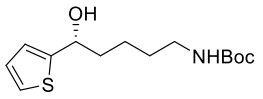


***tert*-butyl (*R*)-(2-(3-Chlorophenyl)-2-hydroxypentyl)carbamate (8v):** Colorless oil, 98% yield. $[\alpha]_D^{25}$ = 11.8 (*c* = 1.60, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.20-7.11 (m, 4H), 4.58-4.55 (m, 1H), 4.47 (brs, 1H), 3.03-3.01 (m, 2H), 1.96 (brs, 1H), 1.75-1.58 (m, 2H), 1.44-1.20 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.2, 147.0, 134.4, 129.7, 127.6, 126.1, 124.0, 79.2, 73.7, 40.2, 38.6, 30.0, 28.5, 22.7. **93% *ee*, HPLC conditions:** Chiralcel

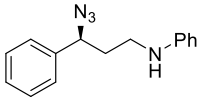
OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 m L/min; t_R = 24.3 min (major), t_R = 20.1 min (minor).



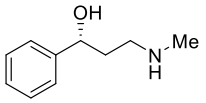
***tert*-butyl (*R*)-(2-Hydroxy-2-(naphthalen-2-yl)pentyl)carbamate (8w):** Colorless oil, 93% yield. $[\alpha]_D^{25}$ = 18.2 (*c* = 6.75, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.84-7.77 (m, 4H), 7.50-7.44 (m, 3H), 4.84 (t, *J* = 6.4 Hz, 1H), 4.51 (brs, 1H), 3.10-3.09 (m, 2H), 1.94-1.79 (m, 3H), 1.52-1.30 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 142.2, 133.3, 132.9, 128.2, 12 7.9, 127.6, 126.1, 125.7, 124.5, 124.1, 79.1, 74.3, 40.3, 38.5, 29.9, 28.4, 22.9. **92% *ee*, H PLC conditions:** Chiralpak IB-3 column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 34.5 min (major), t_R = 32.3 min (minor).



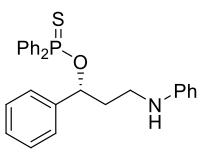
***tert*-butyl (*R*)-(5-Hydroxy-5-(thiophen-2-yl)pentyl)carbamate (8x):** Colorless oil, 23% yield. $[\alpha]_D^{25}$ = 7.6 (*c* = 2.20, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.23-7.21 (m, 1H), 6.95-6.93 (m, 2H), 4.90 (t, *J* = 6.1 Hz, 1H), 4.57 (brs, 1H), 3.10-3.09 (m, 2H), 2.40 (brs, 1H), 1.93-1.77 (m, 2H), 1.52-1.42 (m, 13H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.1, 148.9, 126.6, 124.4, 123.6, 79. 1, 70.1, 40.3, 38.8, 29.8, 28.4, 22.9. **97% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 210 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 2 8.6 min (major), t_R = 25.0 min (minor).



(*S*)-*N*-(3-Azido-3-phenylpropyl)aniline (9a): colorless oil, 55% yield. $[\alpha]_D^{20}$ = -69.1 (*c* = 2.00, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.33-7.23 (m, 5H), 7.09 (t, *J* = 7.6 Hz, 2H), 6.64 (t, *J* = 7.2 Hz, 1H), 6.51 (d, *J* = 7.9 Hz, 2H), 4.54 (t, *J* = 6.9 Hz, 1H), 3.74 (brs, 1H), 3.14 (t, *J* = 6.7 Hz, 2H), 2. 07-1.91 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 147.7, 139.2, 129.3, 129.0, 128.5, 126.9, 117.8, 113.0, 64.2, 41.0, 35.8. **91% *ee*, HPLC conditions:** Chiralcel OD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 21.7 mi n (major), t_R = 25.1 min (minor). **HRMS (ESI)** *m/z* calc. for $C_{15}H_{17}N_4$ $[M+H]^+$: 253.1448, found: 253.1445.

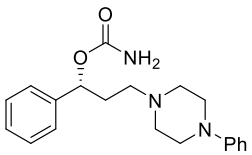


(*R*)-3-(methylamino)-1-phenylpropan-1-ol (9b)[7]: yellow oil, 83% yield. $[\alpha]_D^{18}$ = 29.5 (*c* = 2.93, $CHCl_3$). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 7.37 (d, *J* = 7.4 Hz, 2H), 7.33 (t, *J* = 7.4 Hz, 2H), 7.24 (t, *J* = 7.2 Hz, 1H), 4.93 (dd, *J* = 8.7, 2.8 Hz, 1H), 4.06 (brs, 1H), 2.91-2.82 (m, 1H), 2.44 (s, 3H), 1.90-1. 86 (m, 1H), 1.80-1.74 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 145.1, 128.2, 126.9, 125. 6, 75.5, 50.4, 36.8, 36.0.



(*R*)-*O*-(1-Phenyl-3-(phenylamino)propyl) diphenylphosphinothioate (9c): colorless oil, 62% yield. $[\alpha]_D^{20}$ = -1.0 (*c* = 1.88, $CHCl_3$). **^{1}H NMR** (40 0 MHz, $CDCl_3$) δ 7.95-7.90 (m, 2H), 7.61-7.46 (m, 5H), 7.32 (t, *J* = 7. 2 Hz, 1H), 7.20-7.12 (m, 9H), 6.67 (t, *J* = 7.2 Hz, 1H), 6.54 (d, *J* = 8.0 Hz, 2H), 5.75-5.69 (m, 1H), 3.88 (brs, 1H), 3.27-3.23 (m, 2H), 2.35-2.26 (m, 1H), 2.

24-2.13 (m, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 147.8, 140.2 (d, *J* = 2.8 Hz), 135.2 (d, *J* = 115.4 Hz), 133.8 (d, *J* = 104.6 Hz), 131.8 (d, *J* = 3.0 Hz), 131.57 (d, *J* = 11.7 Hz), 131.55 (d, *J* = 3.0 Hz), 130.9 (d, *J* = 11.1 Hz), 129.2, 128.5 (d, *J* = 13.4 Hz), 128.3, 128.0 (d, *J* = 13.1 Hz), 127.8, 126.8, 117.1, 112.9, 76.1, 39.6, 37.3 (d, *J* = 5.3 Hz); **^{31}P NMR** ($CDCl_3$, 162 MHz) δ (ppm): 82.5. **94% ee, HPLC conditions:** Chiralcel OD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 95:5; flow rate = 1.0 mL/min; t_R = 12.5 min (major), t_R = 17.5 min (minor). **HRMS (ESI)** *m/z* calc. for $C_{27}H_{27}NOPS$ $[M+H]^+$: 444.1540, found: 444.1544.



***(R)*-1-Phenyl-3-(4-phenylpiperazin-1-yl)propyl carbamate (9d)[8]:** white solid, 82% yield. $[\alpha]_D^{17}$ = 8.4 (*c* = 2.76, $CHCl_3$). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.25-7.15 (m, 7H), 6.83 (d, *J* = 7.8 Hz, 2H), 6.77 (t, *J* = 7.0 Hz, 1H), 5.63 (t, *J* = 6.4 Hz, 1H), 4.89 (brs, 2H), 3.10 (s, 4H), 2.50-2.49 (m, 4H), 2.34-2.32 (m, 2H), 2.12-2.07 (m, 1H), 1.92-1.88 (m, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.4, 151.2, 140.6, 129.1, 128.4, 127.9, 126.3, 119.7, 116.0, 75.2, 54.5, 53.1, 49.0, 33.8. **98% *ee*, HPLC conditions:** Chiralpak AD-H column, UV detection at 254 nm; *n*-hexane: isopropanol = 88:12; flow rate = 1.0 mL/min; t_R = 18.1 min (major), t_R = 16.4 min (minor).

8. Reference

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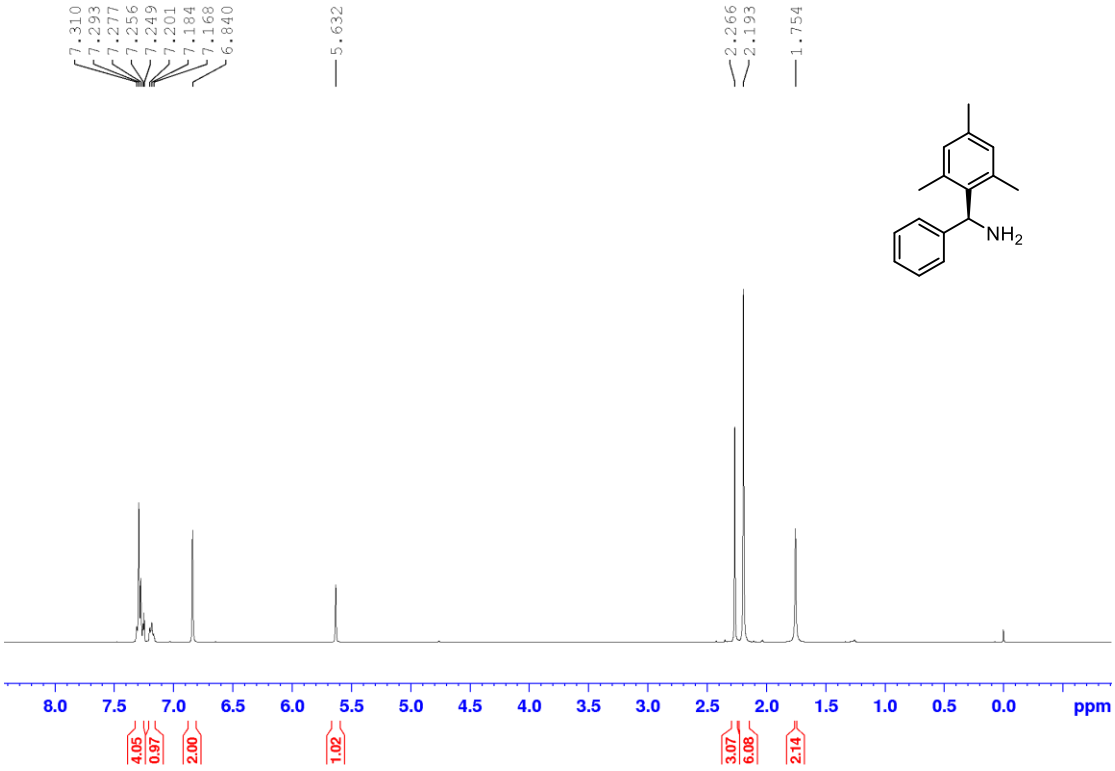
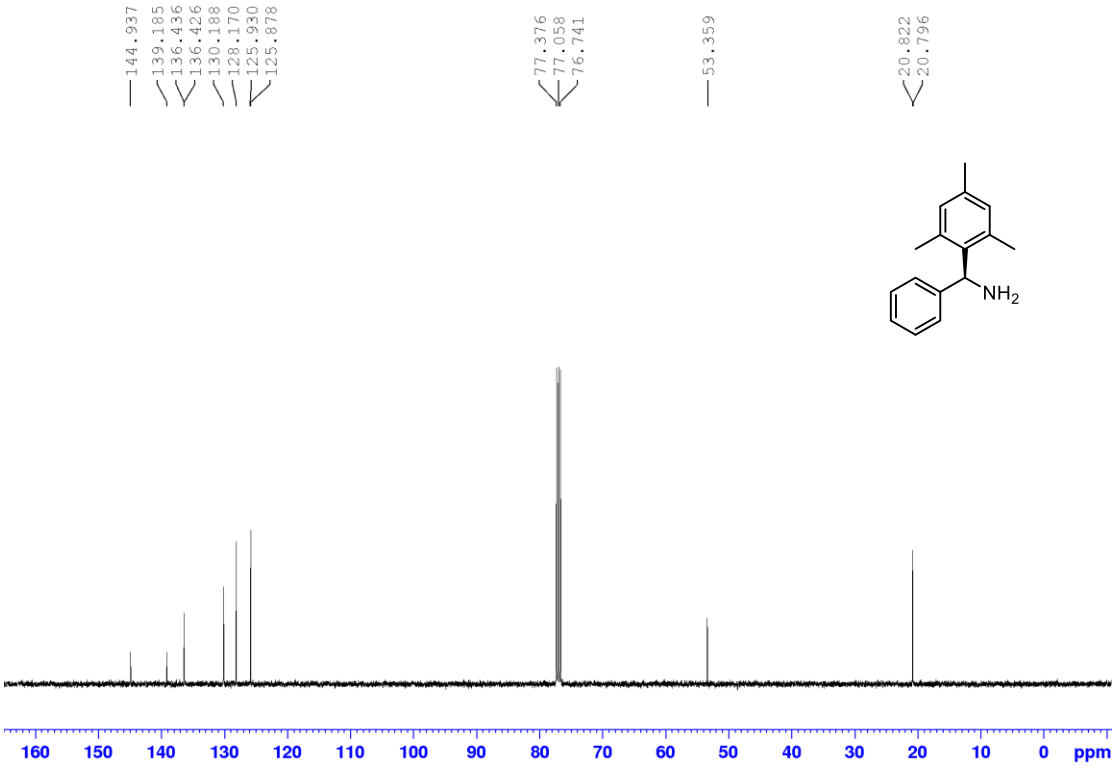
9. NMR of the products



^{1}H NMR of compound **1a**



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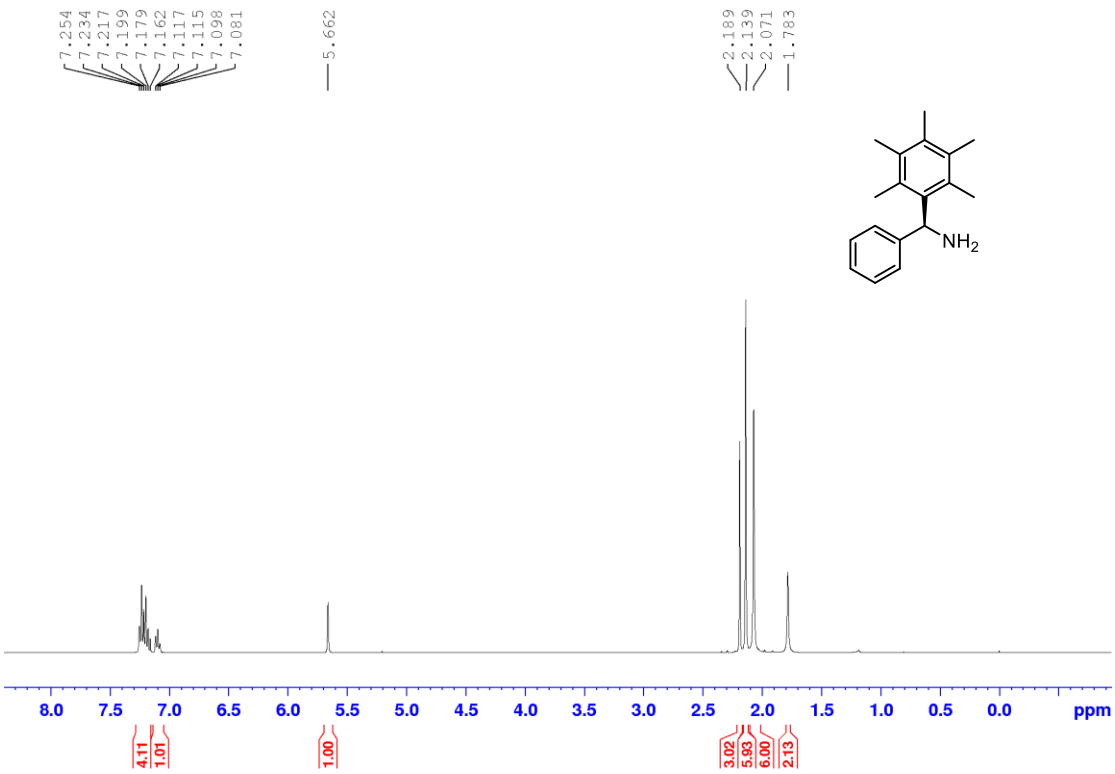

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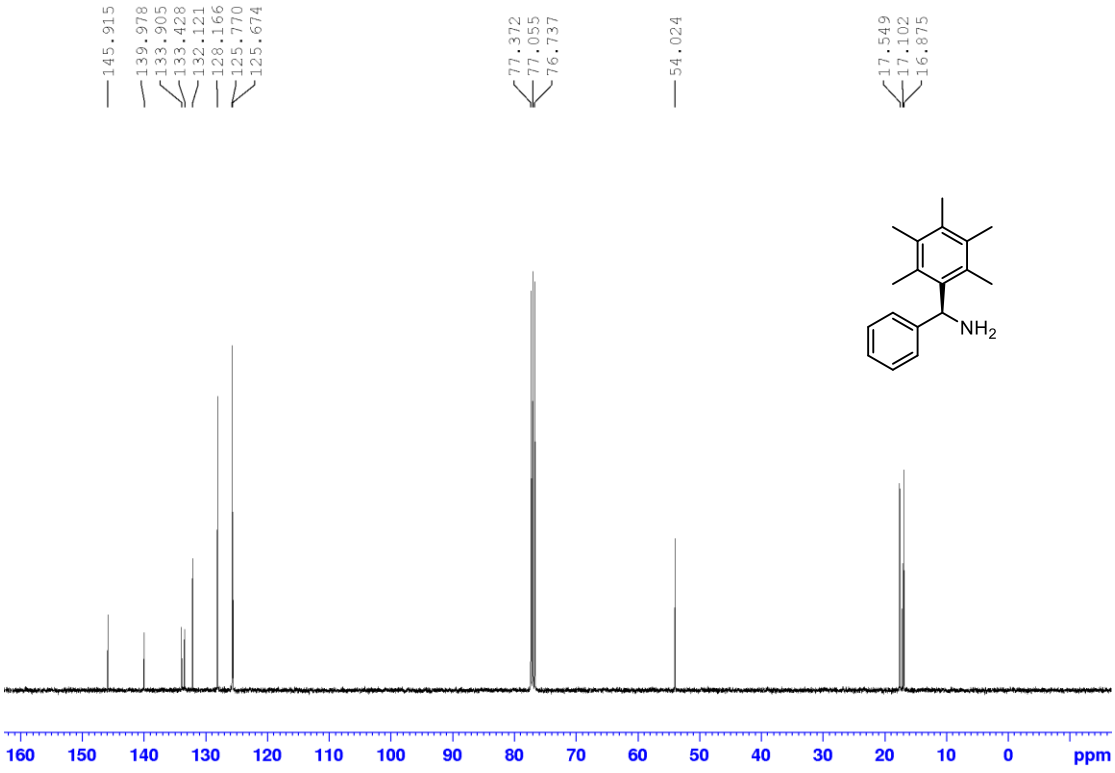

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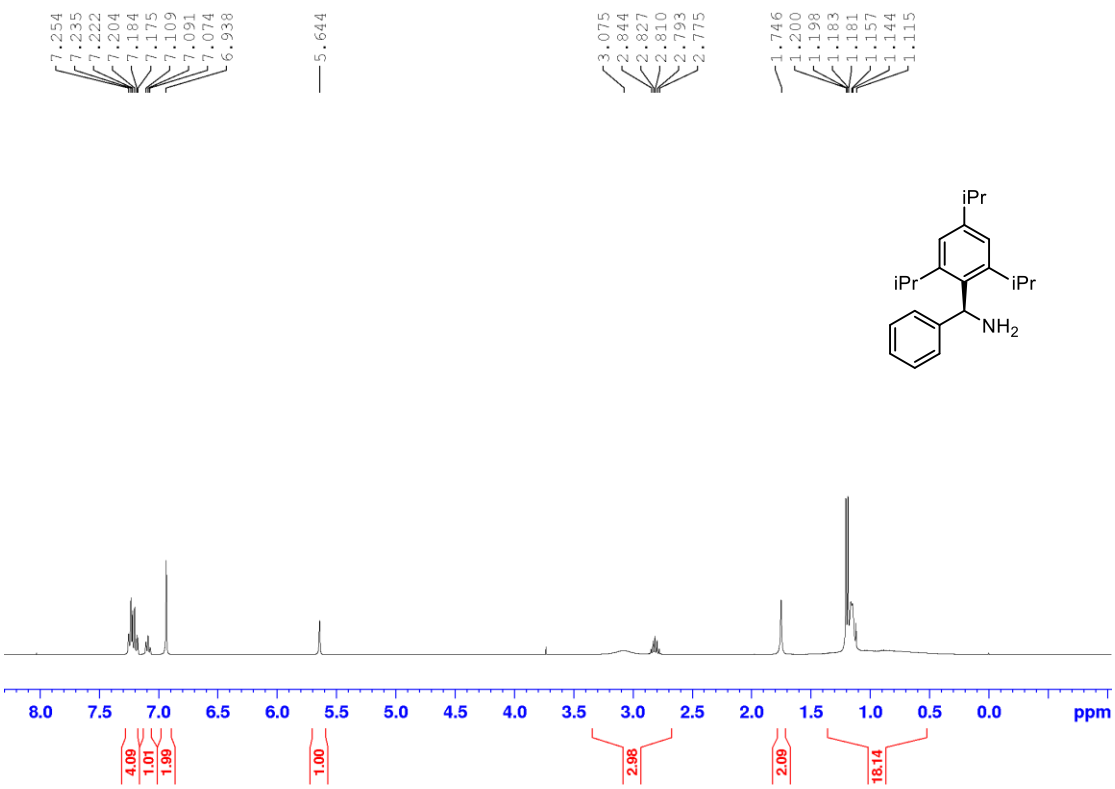

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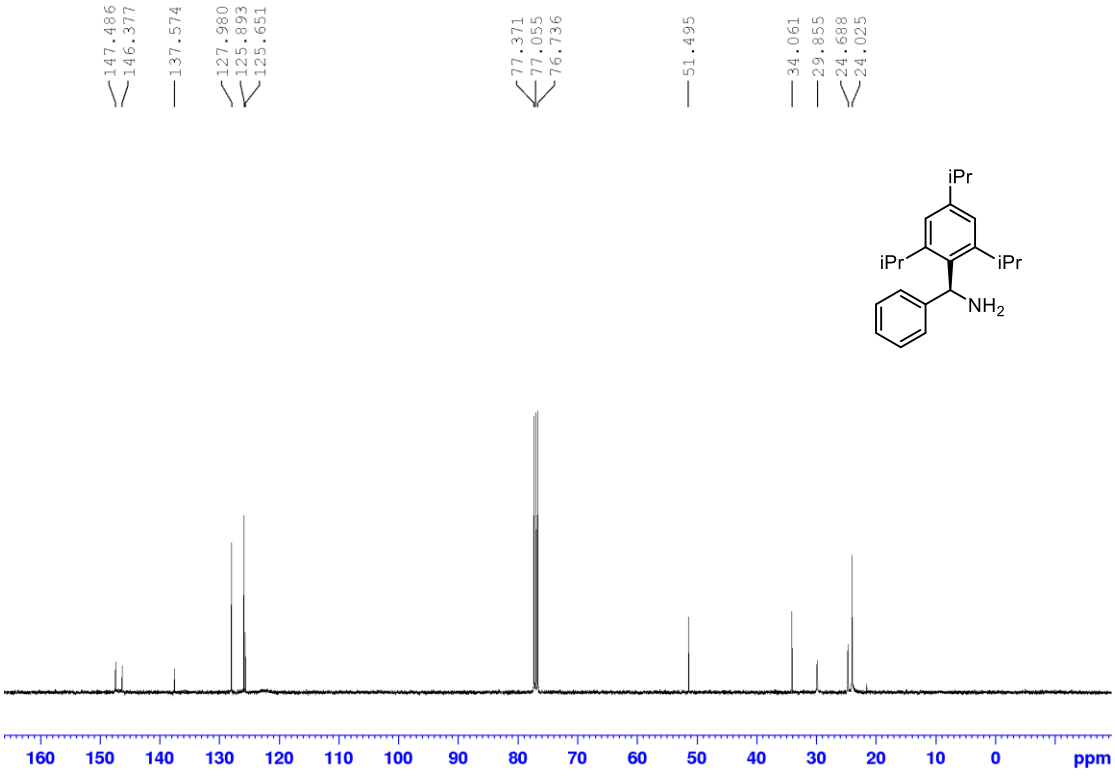

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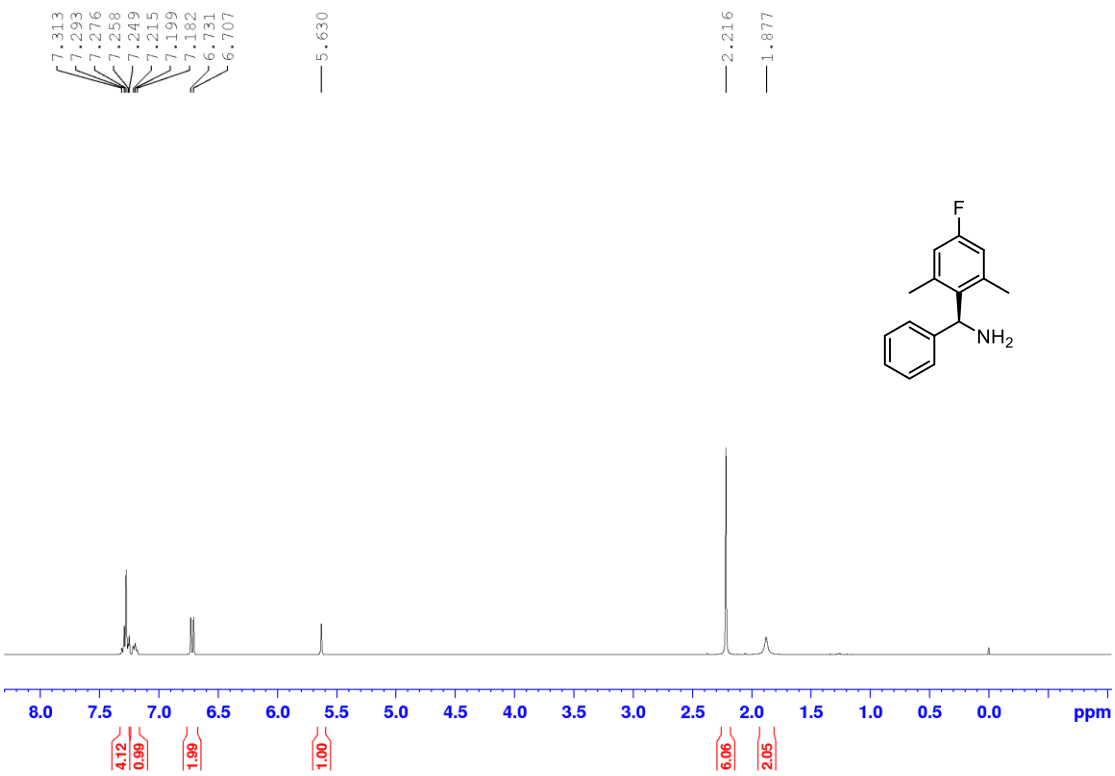

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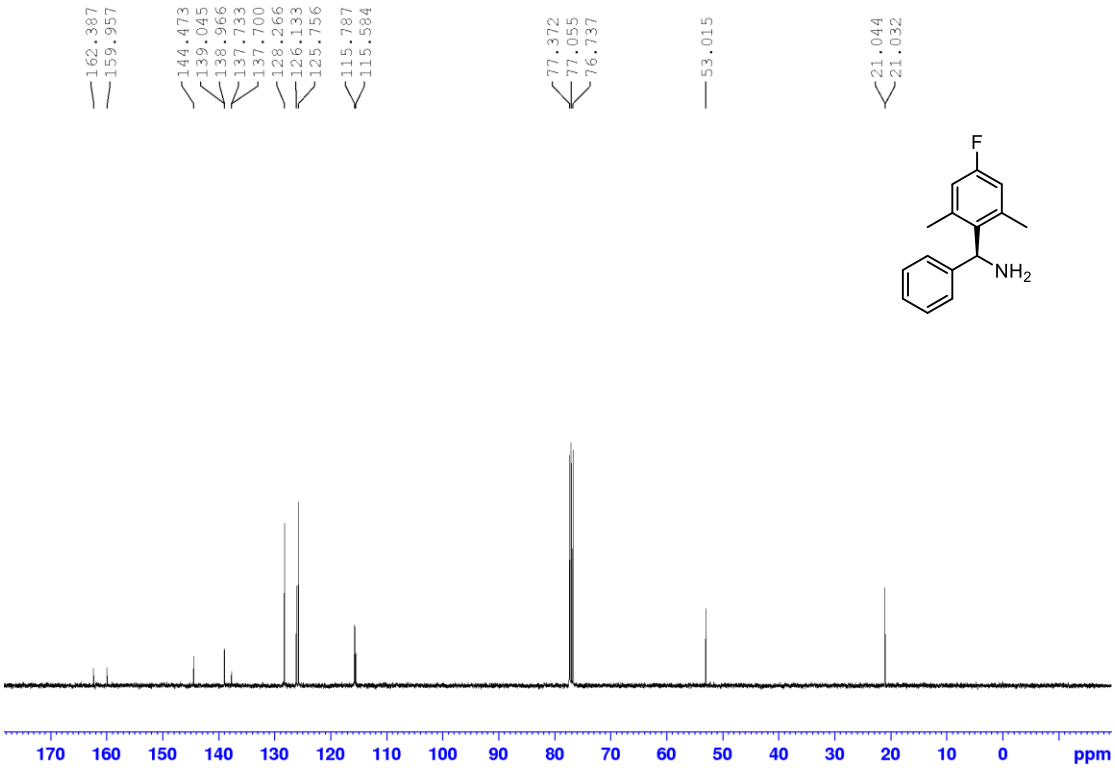

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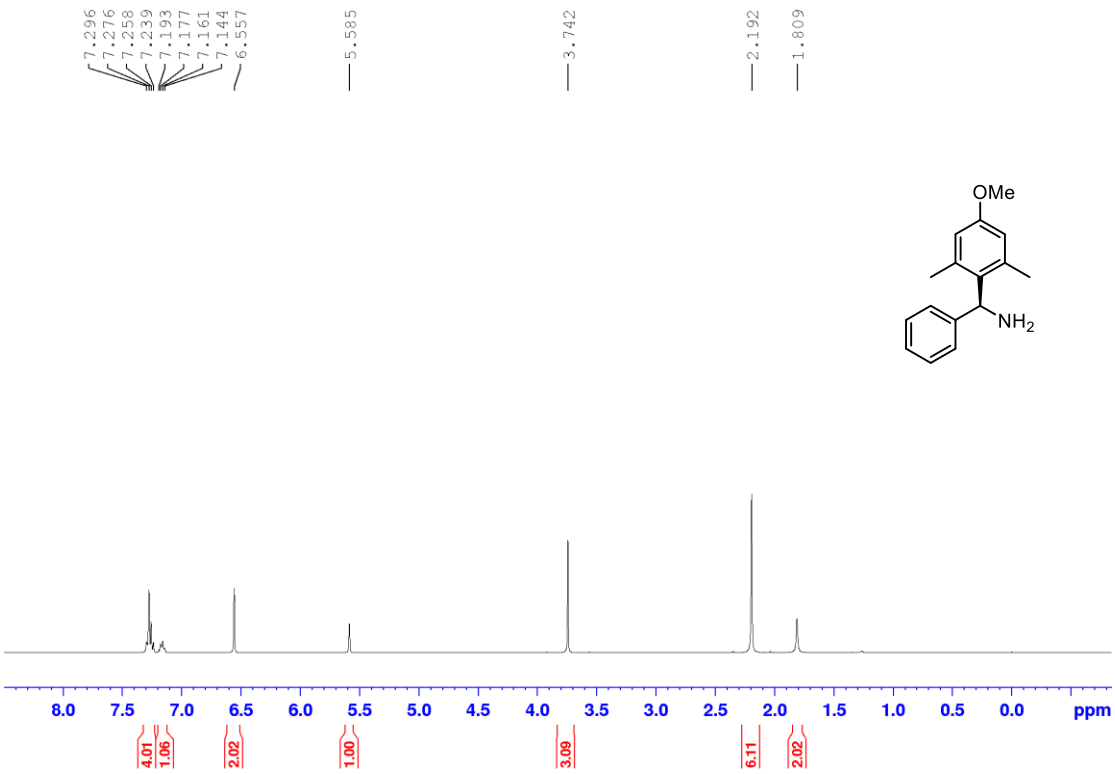

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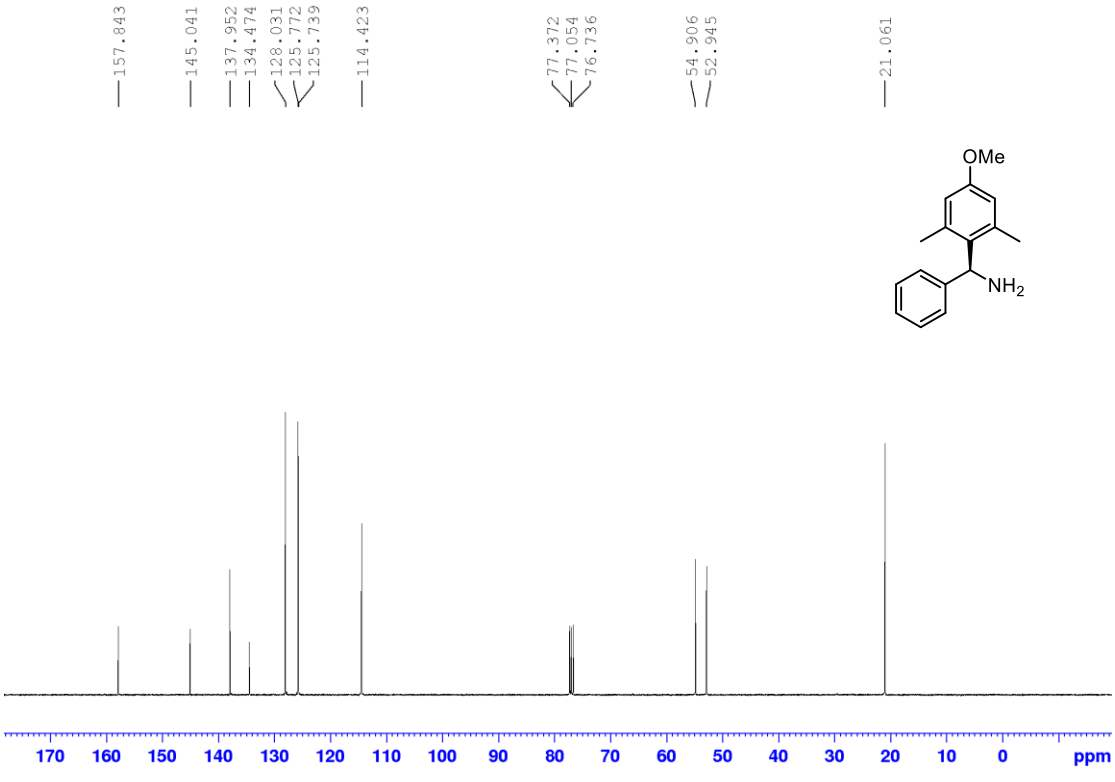

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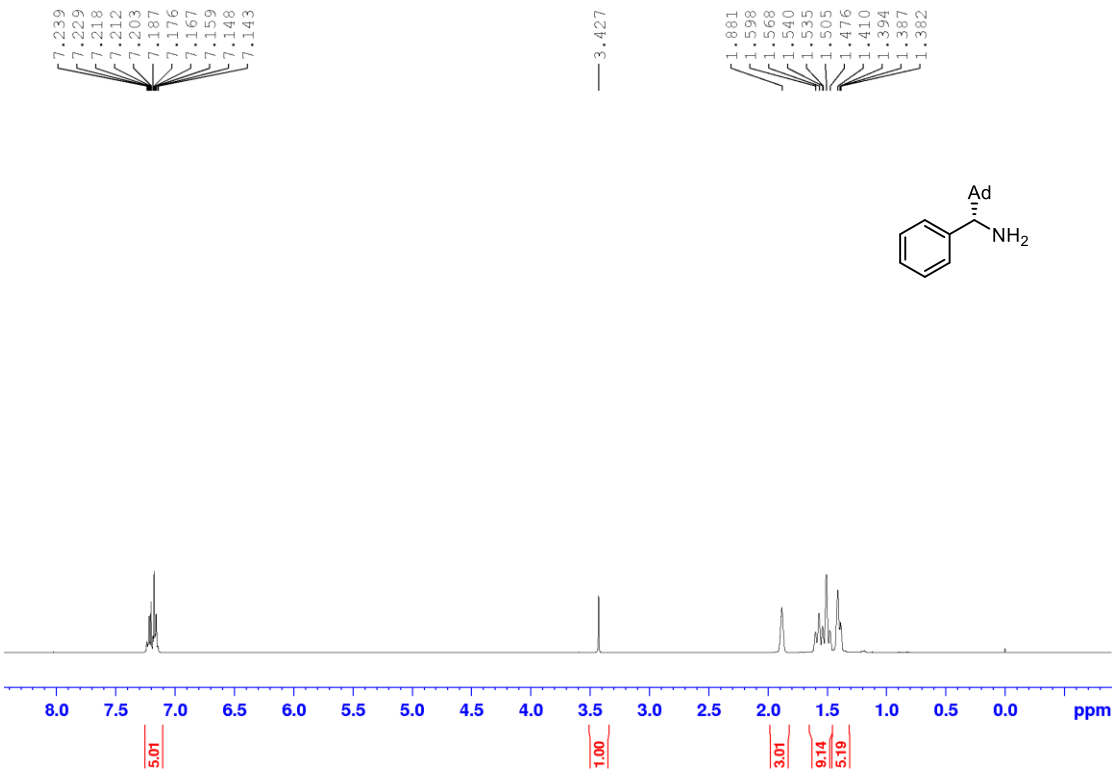

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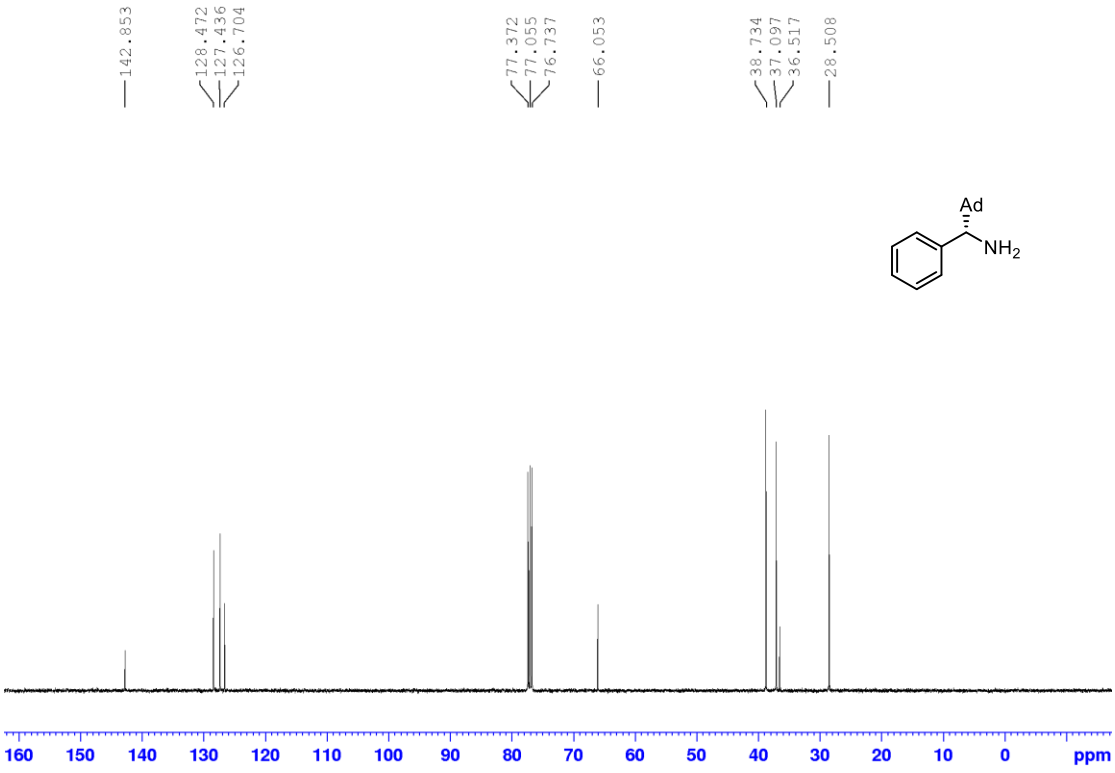

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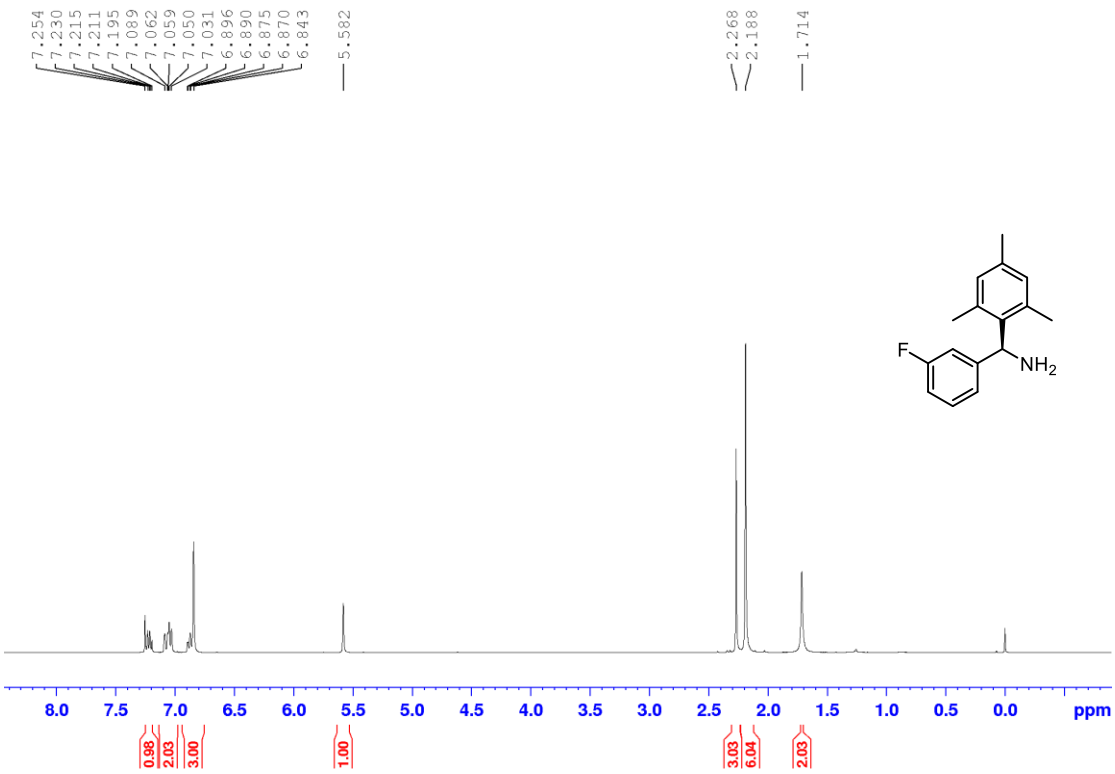

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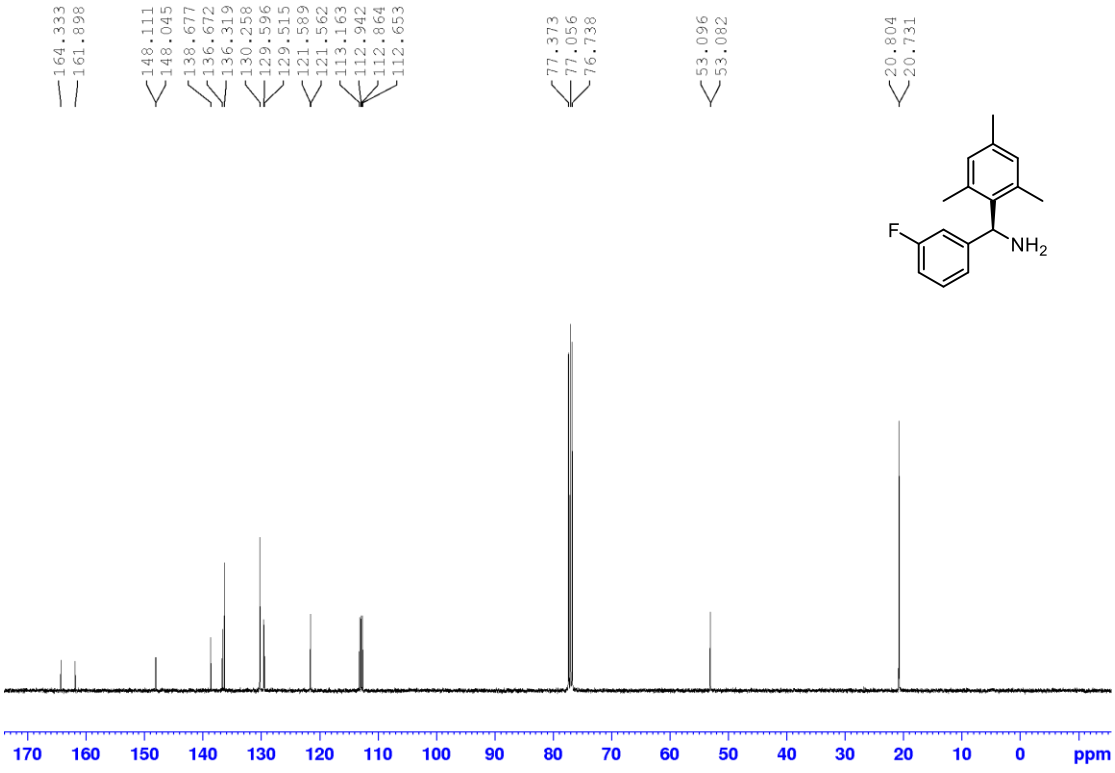

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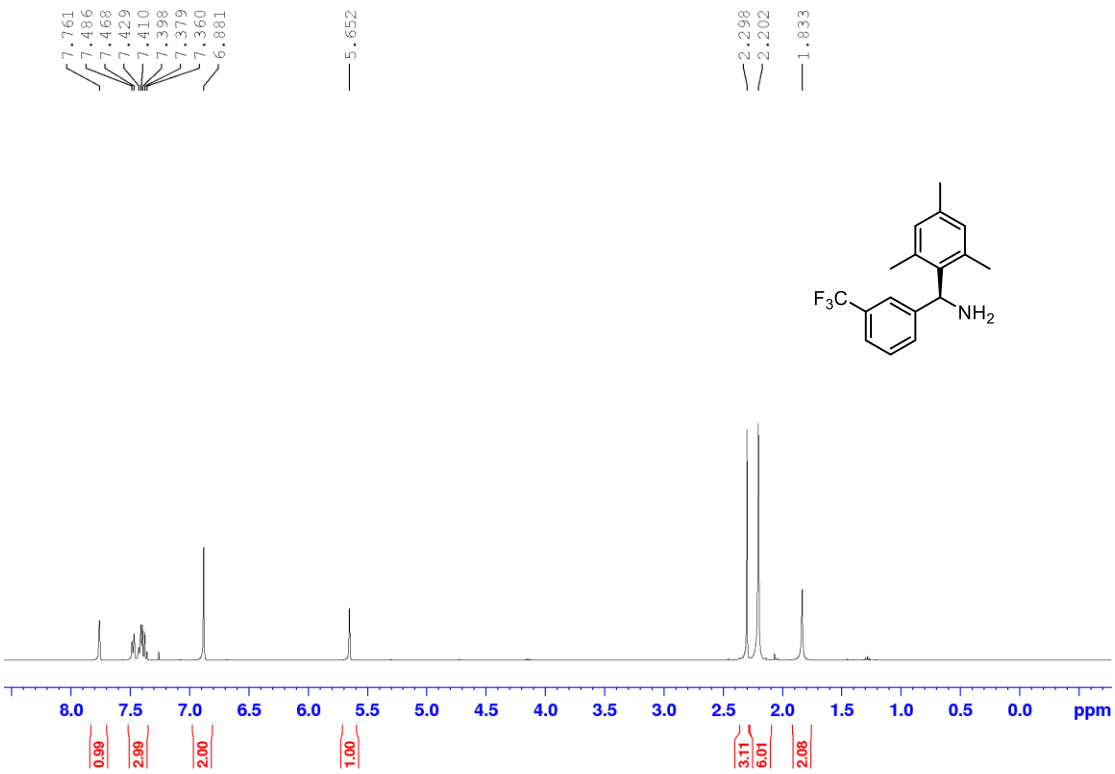

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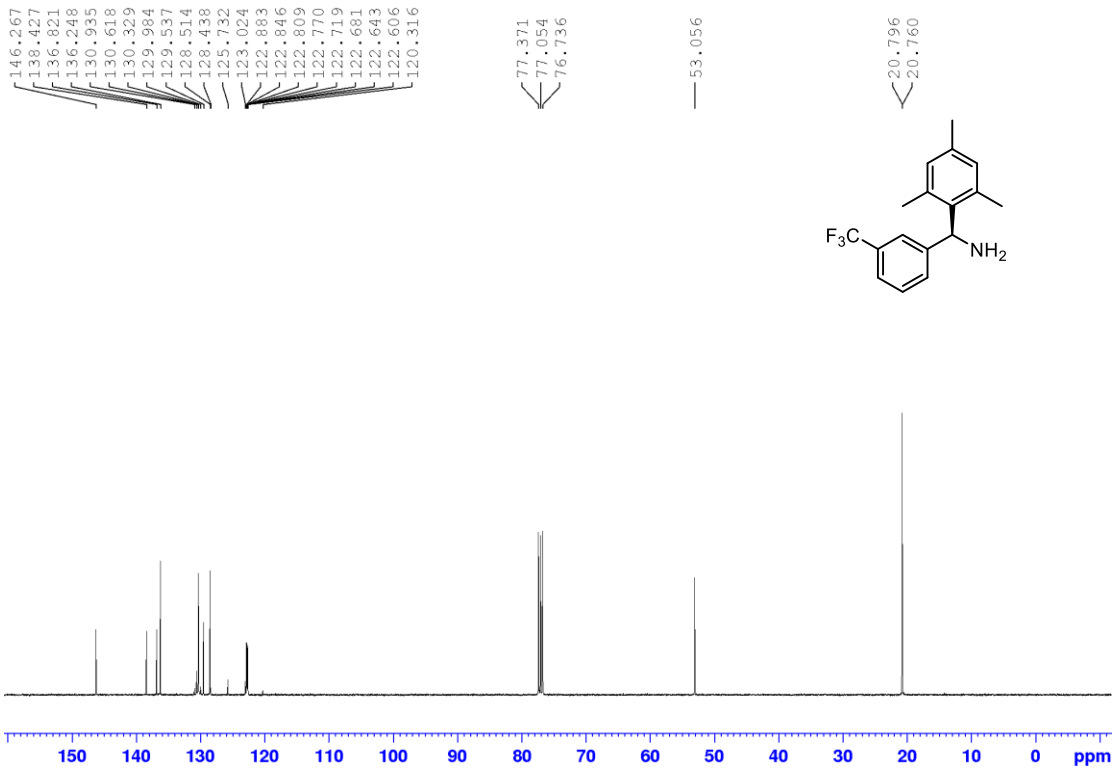

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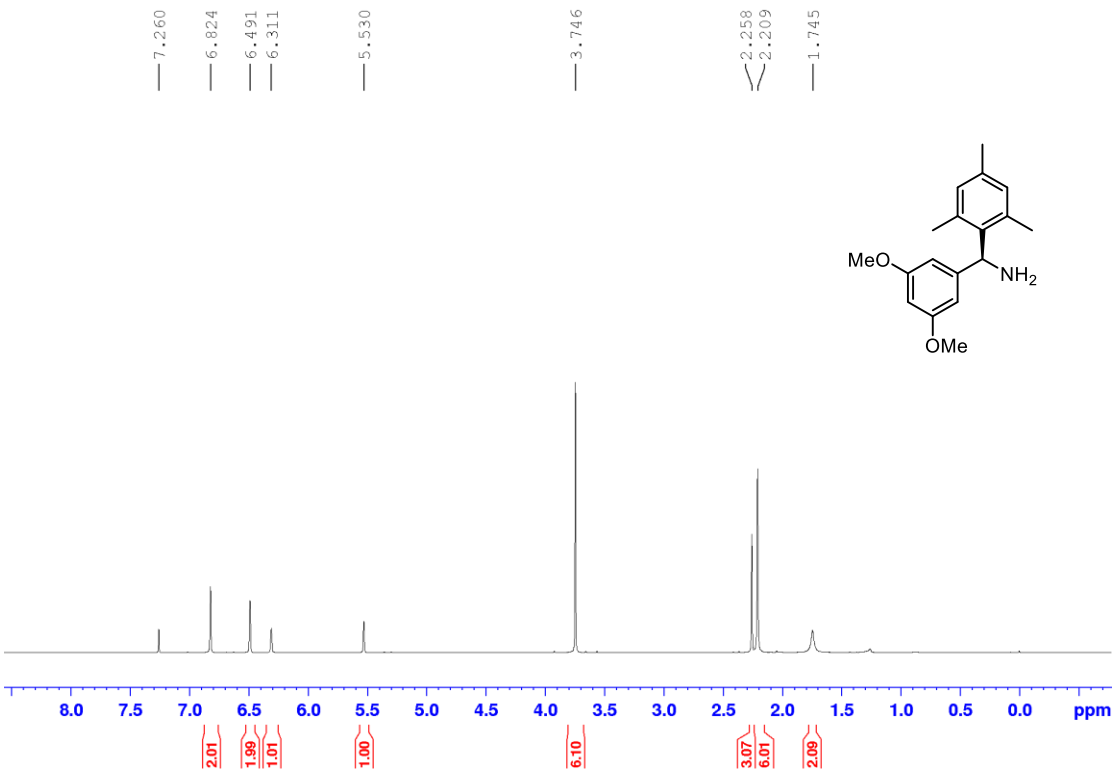

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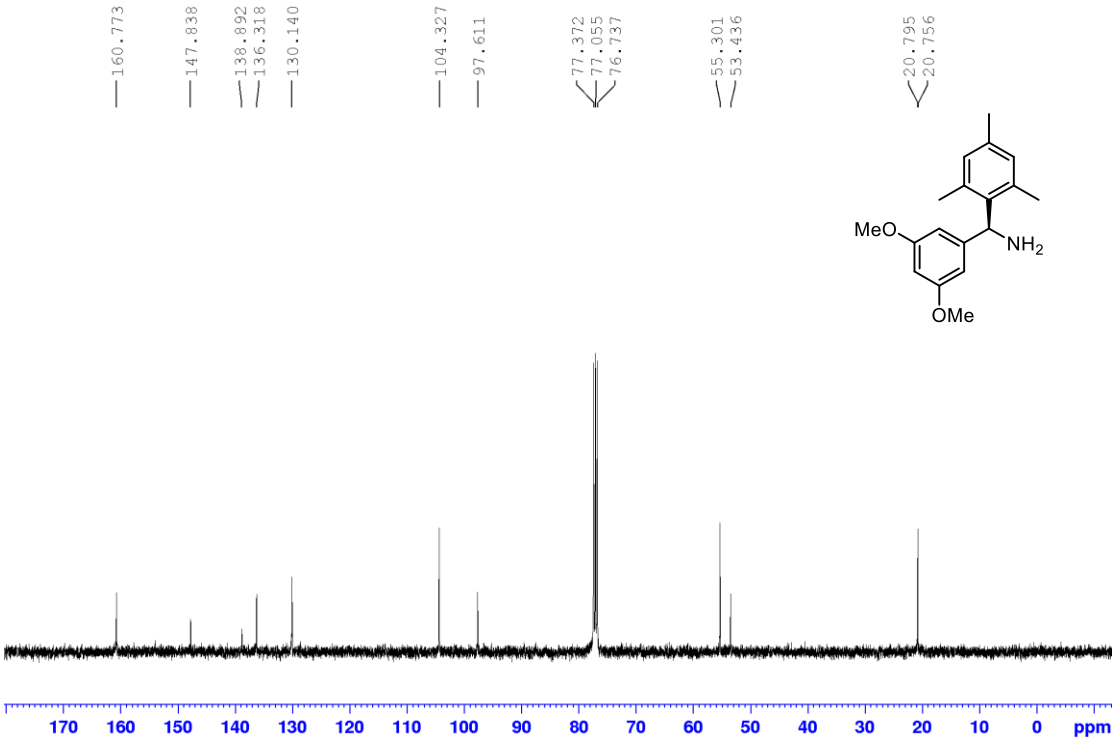

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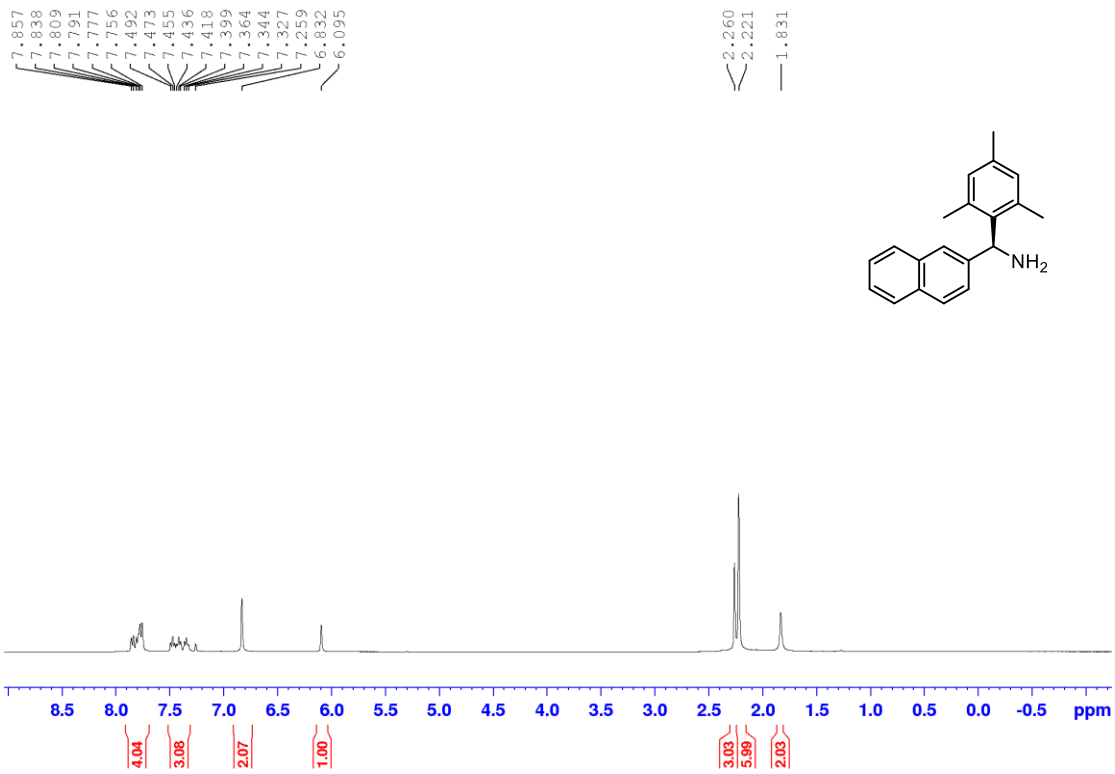

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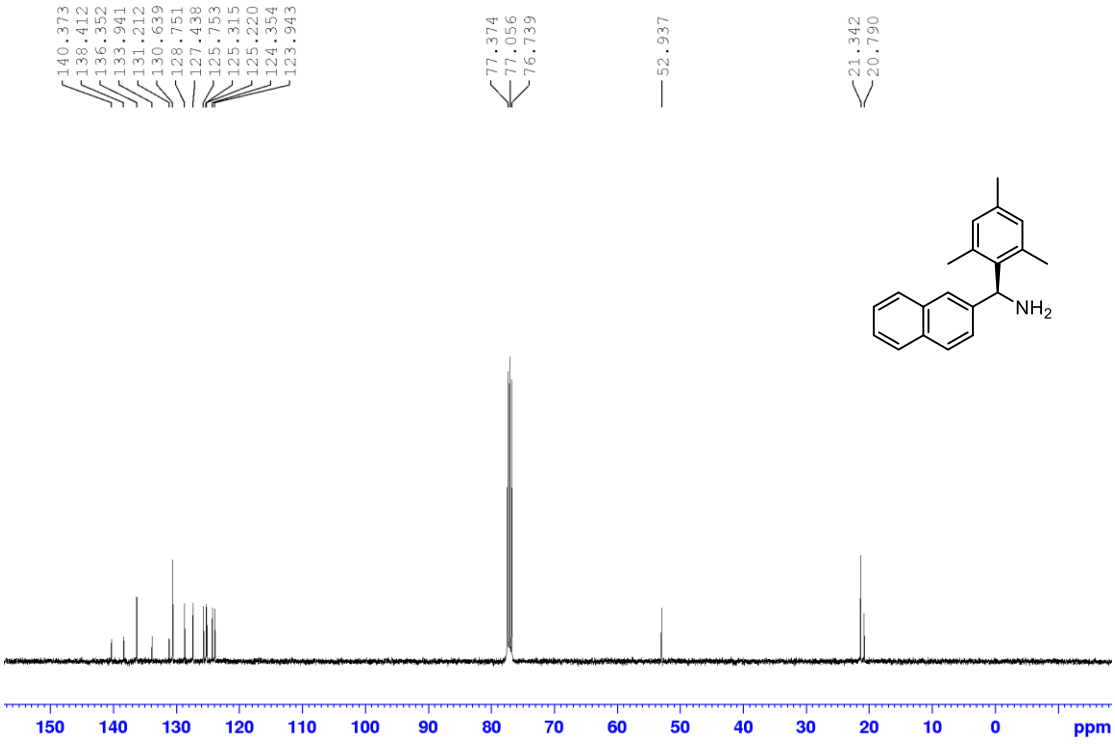

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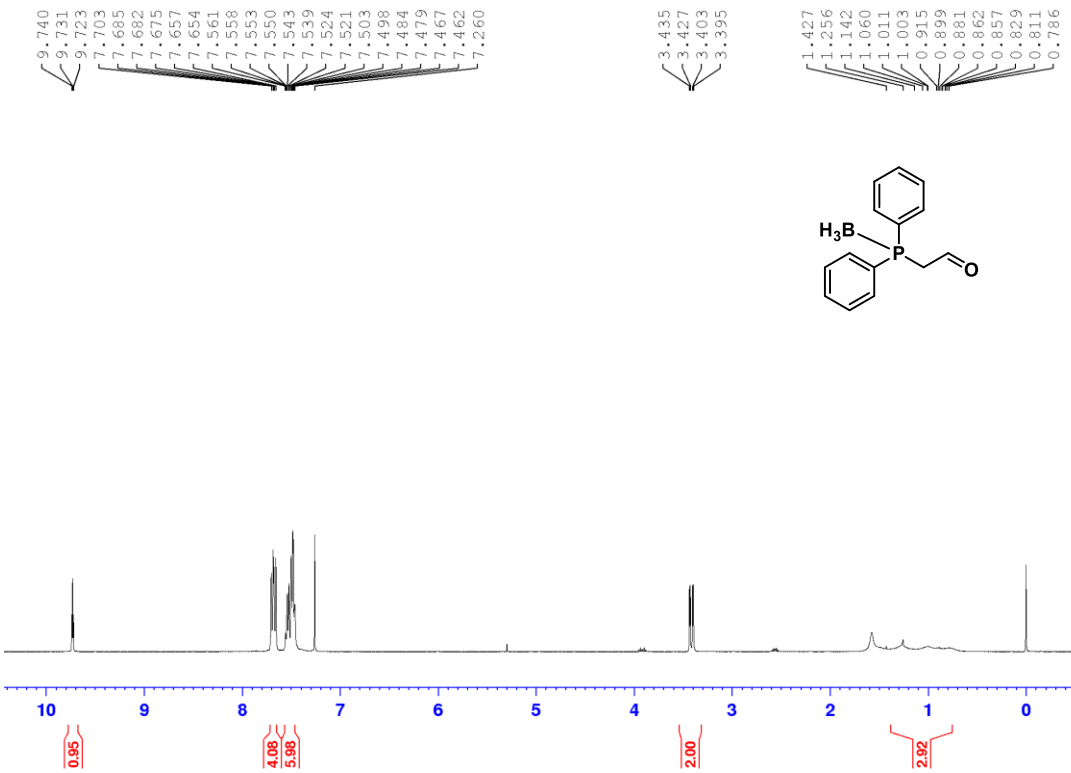

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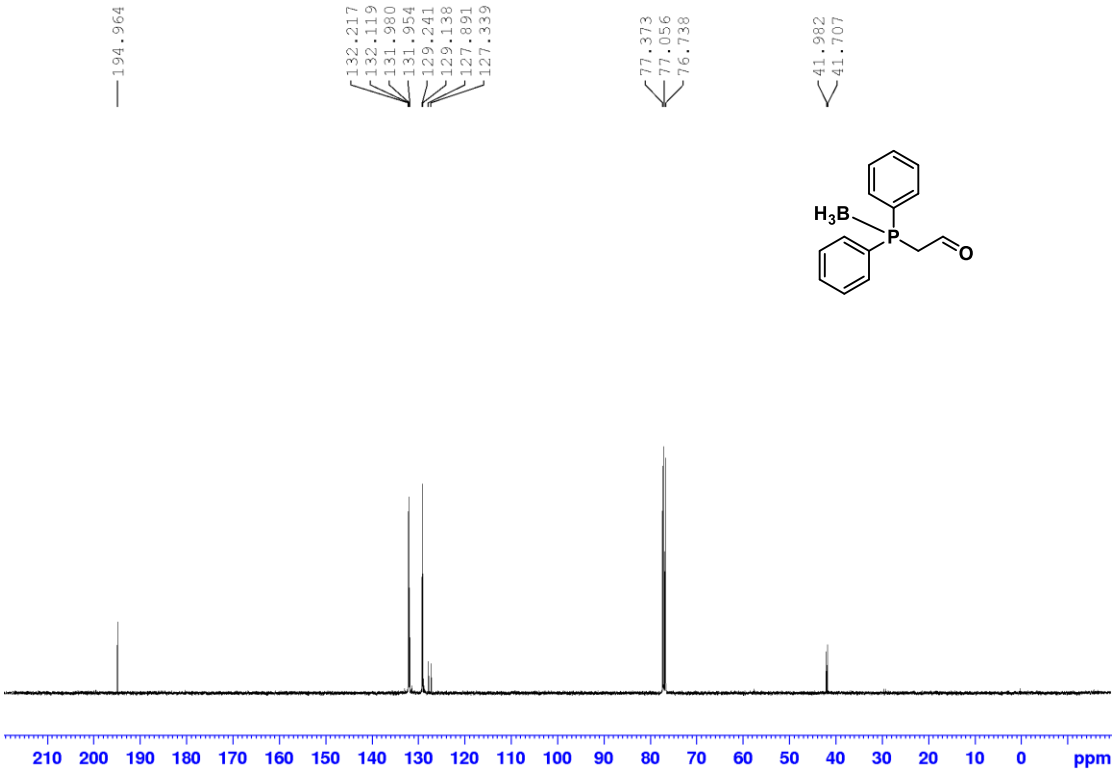

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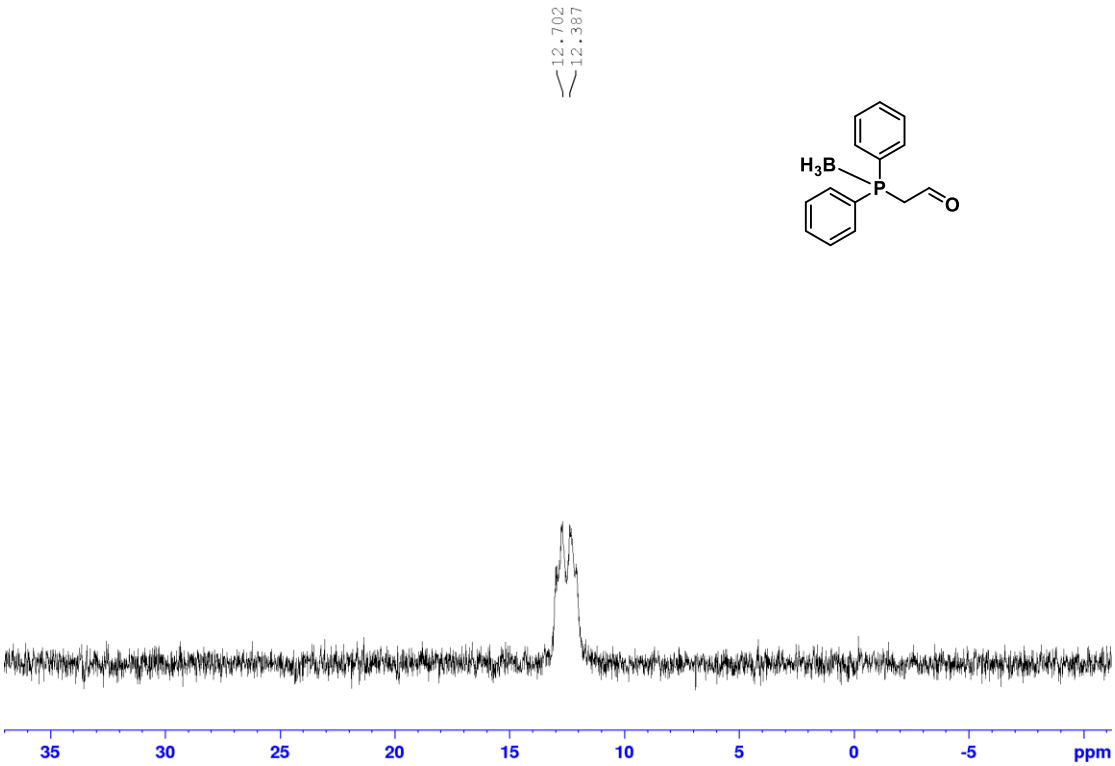

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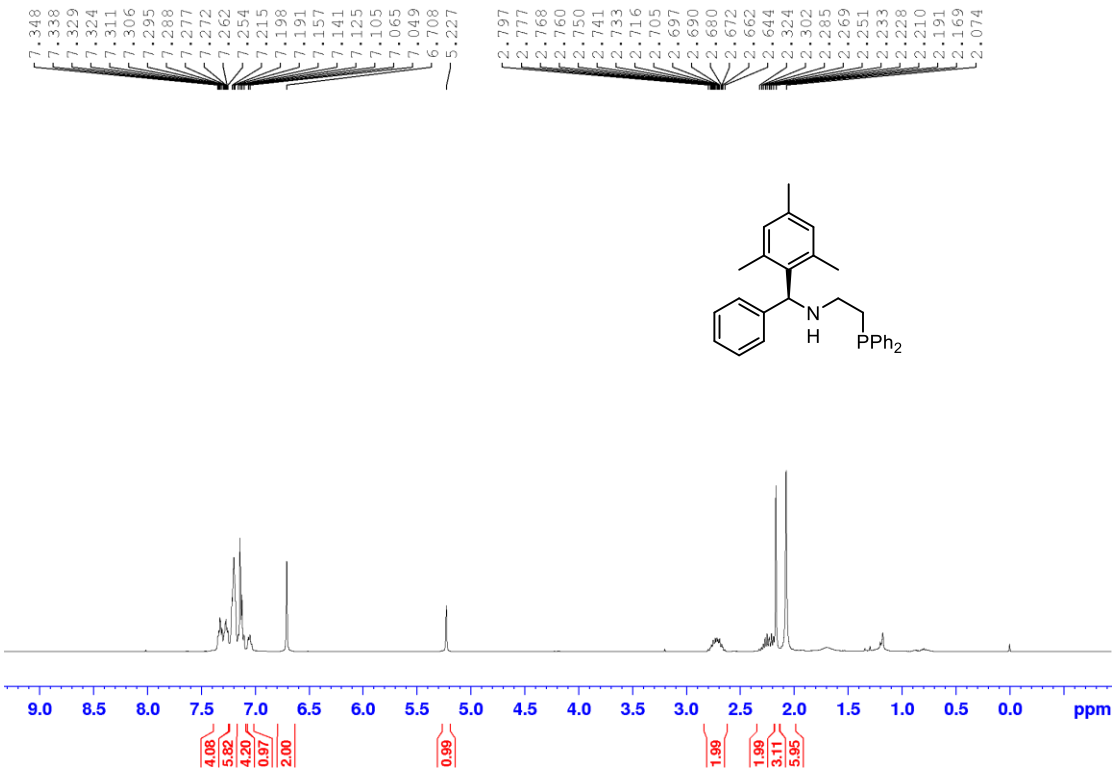

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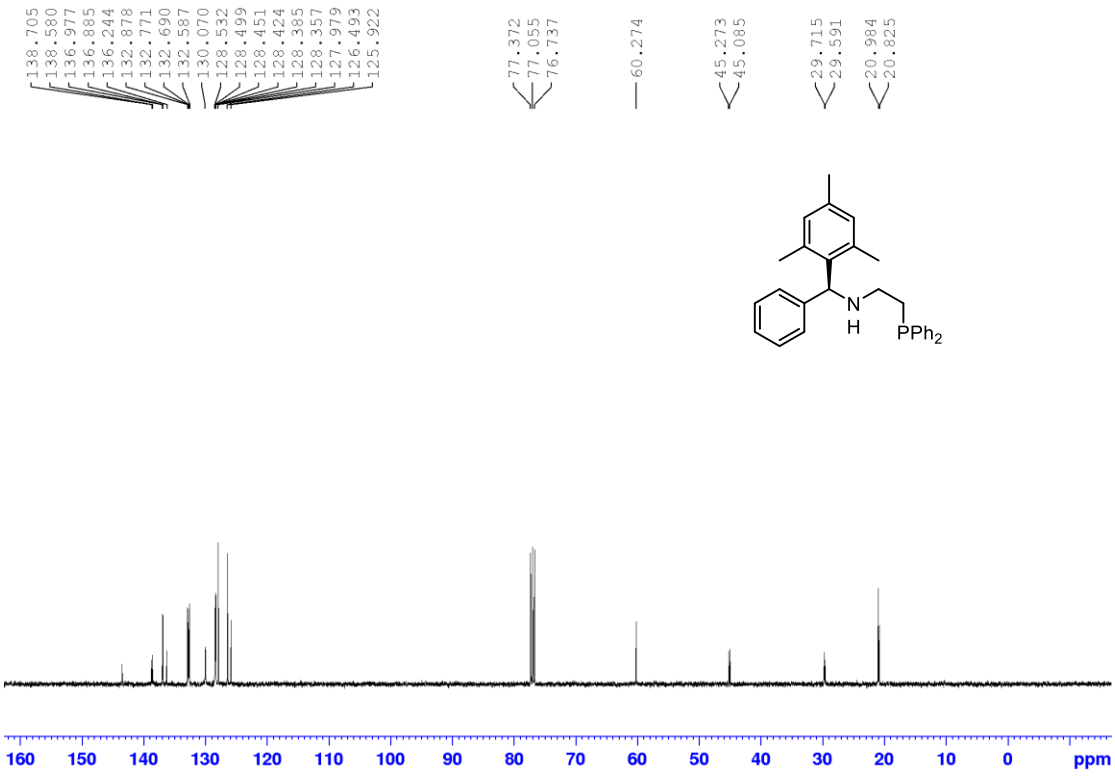

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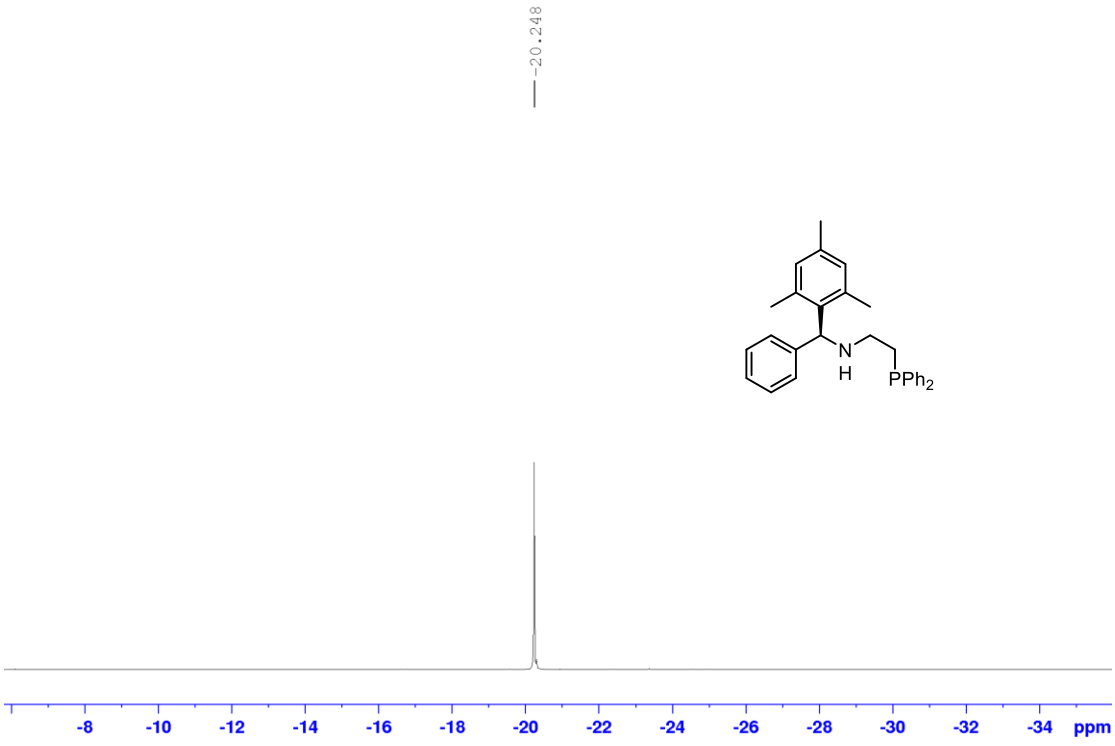

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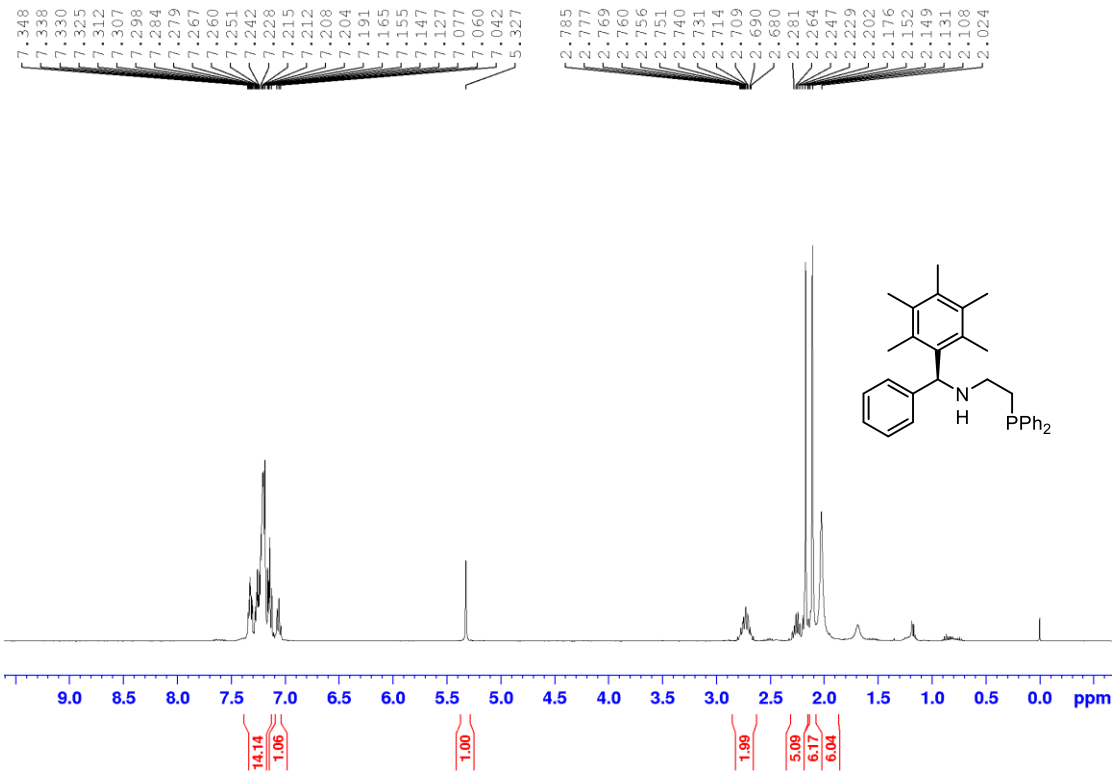

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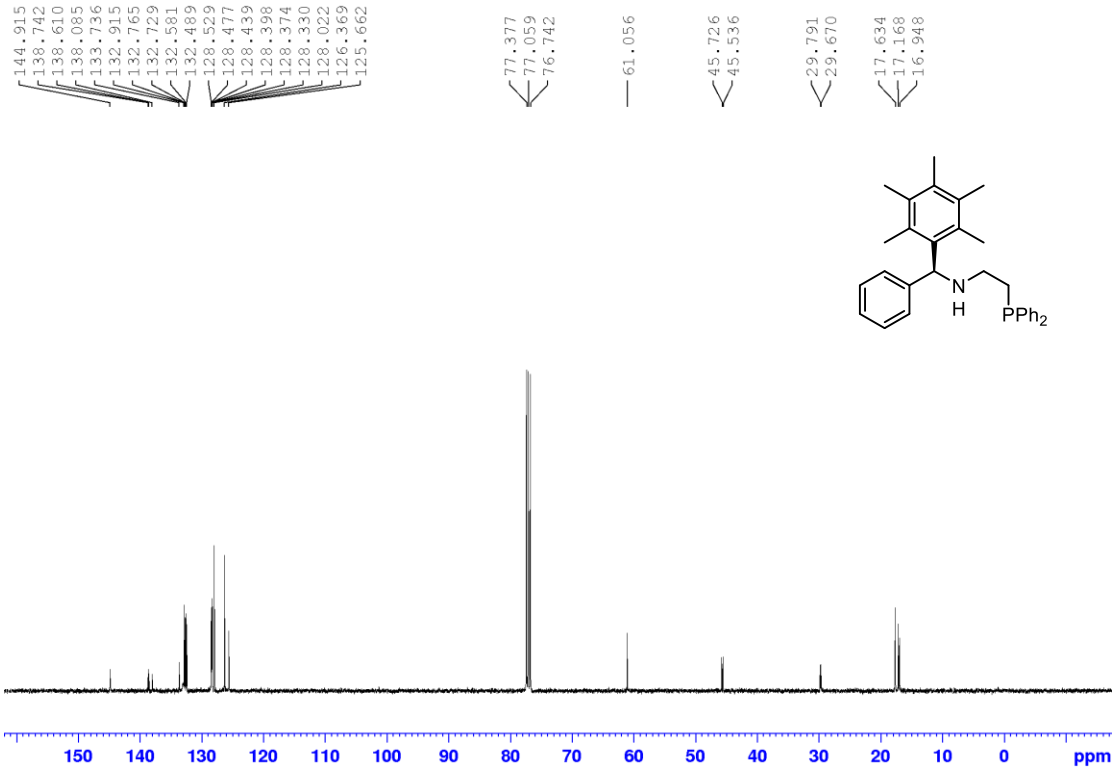

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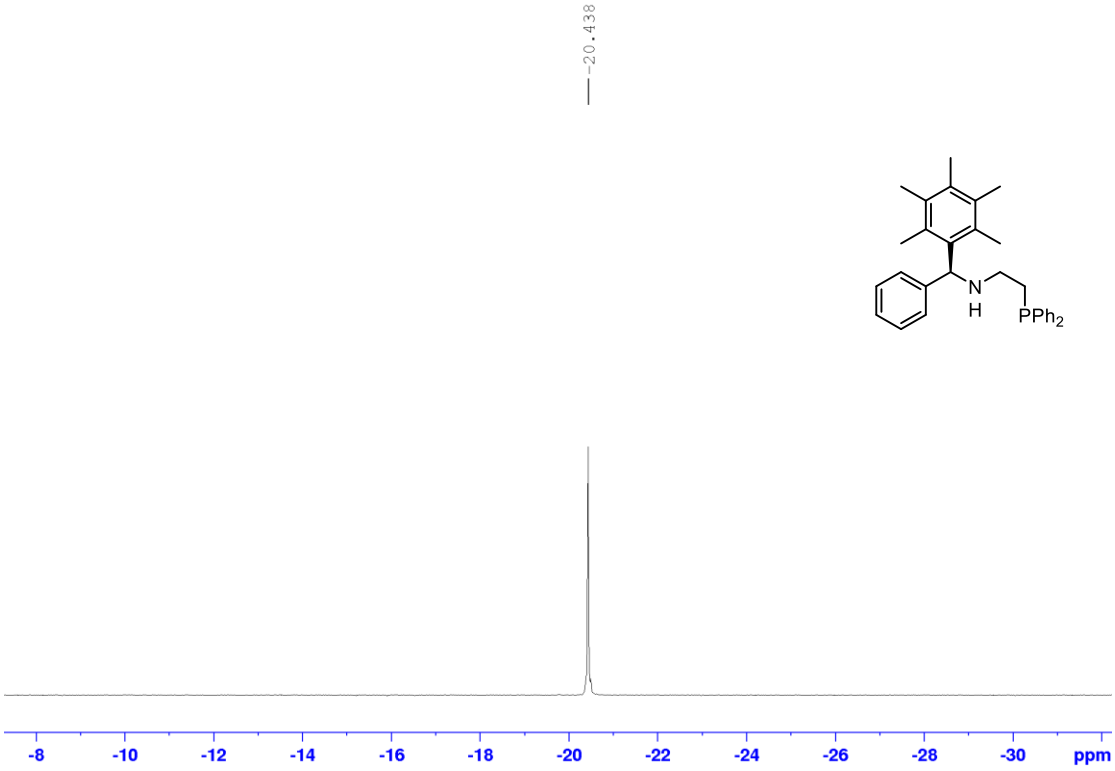

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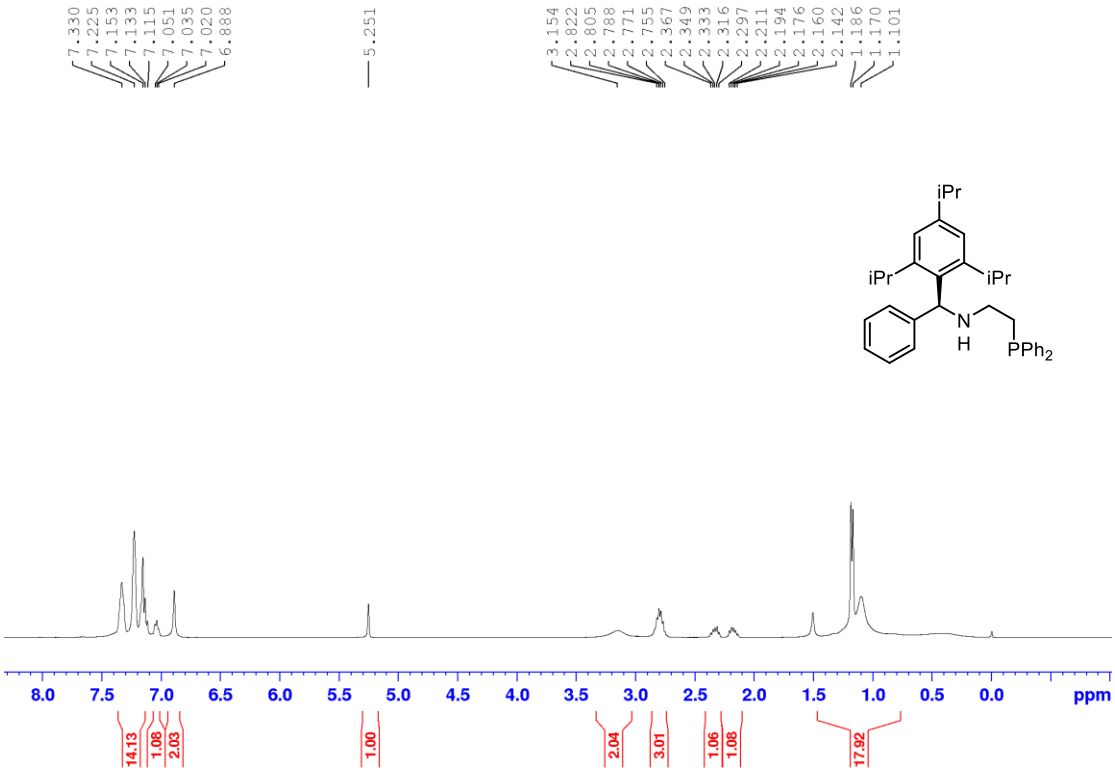

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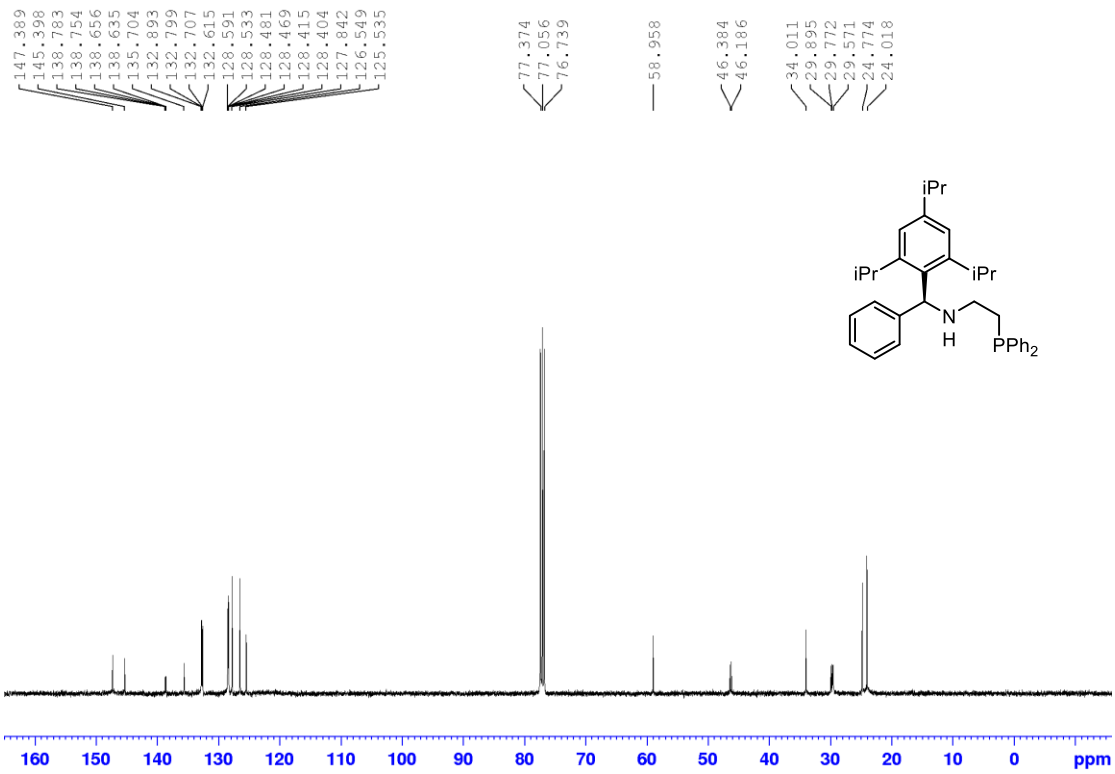

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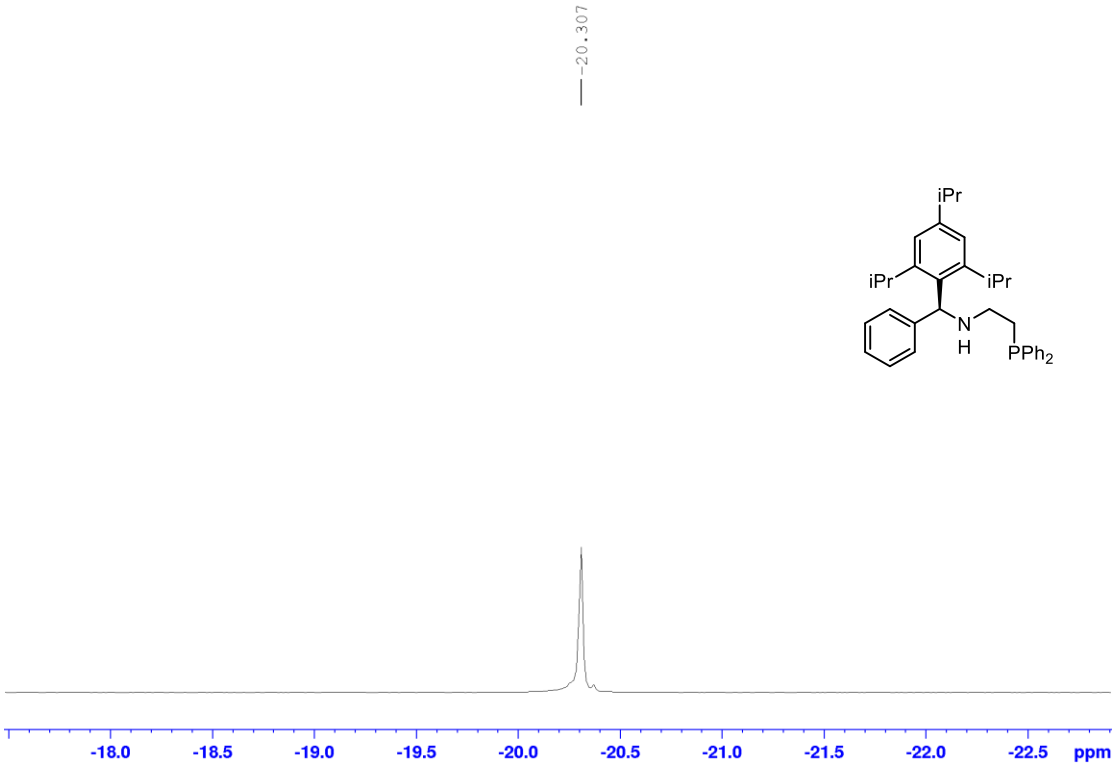

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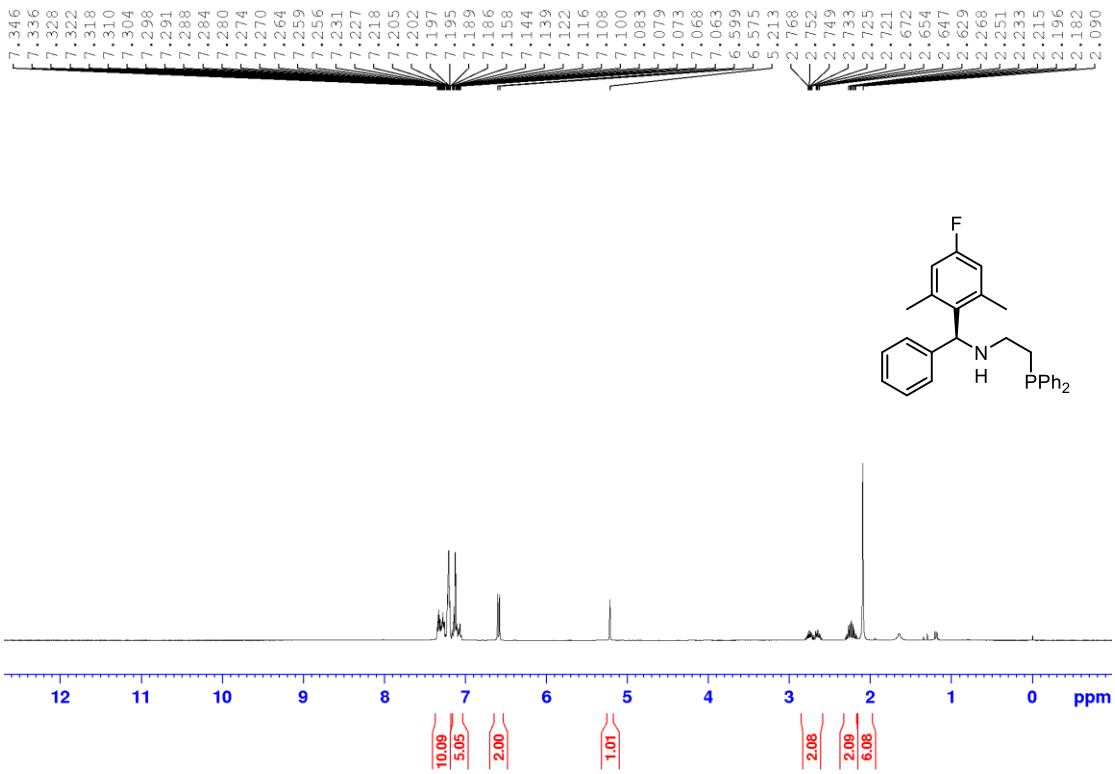

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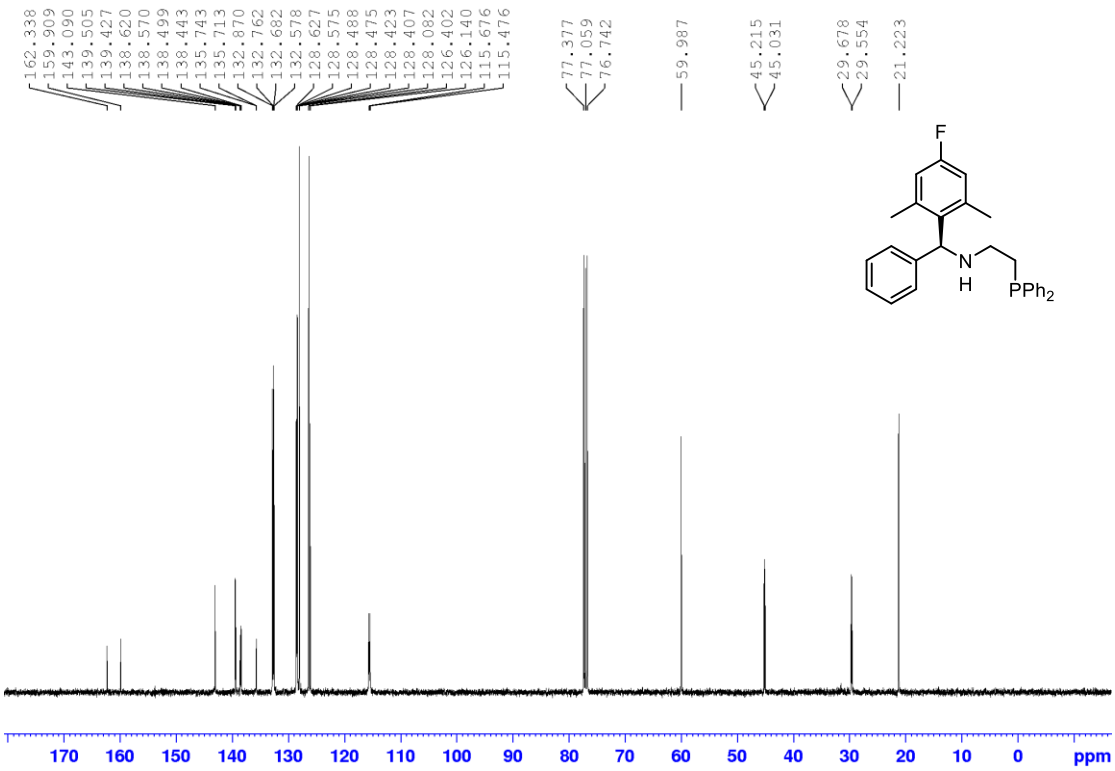

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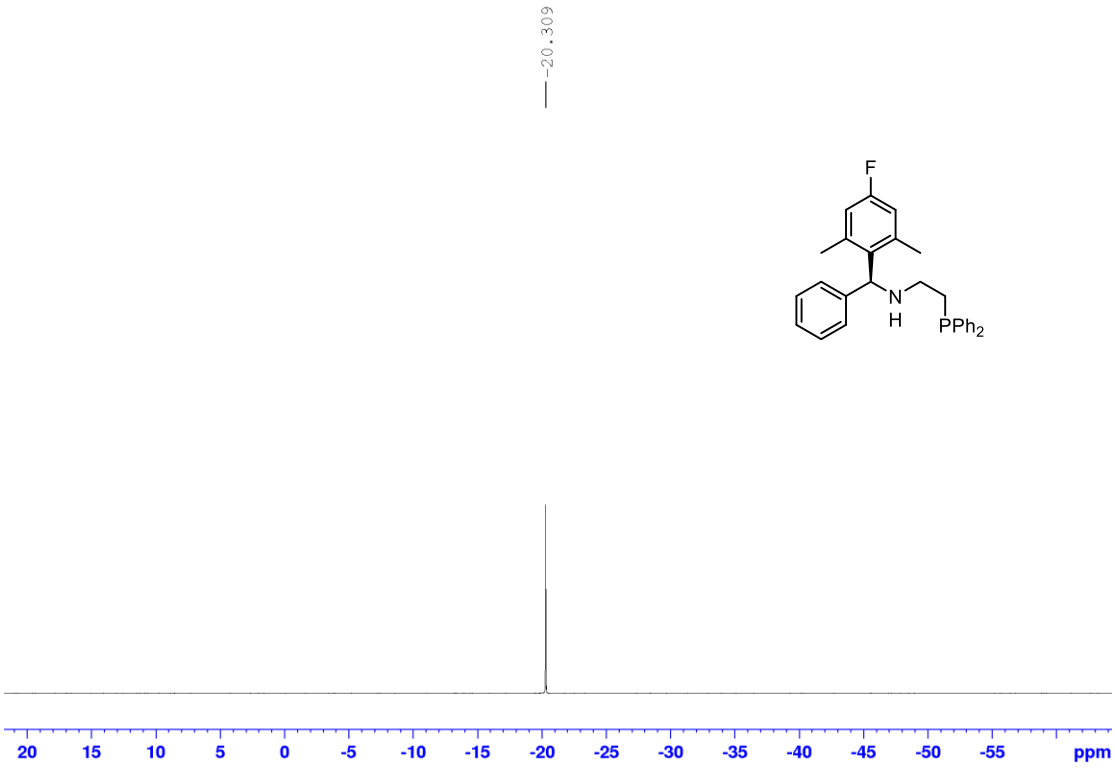

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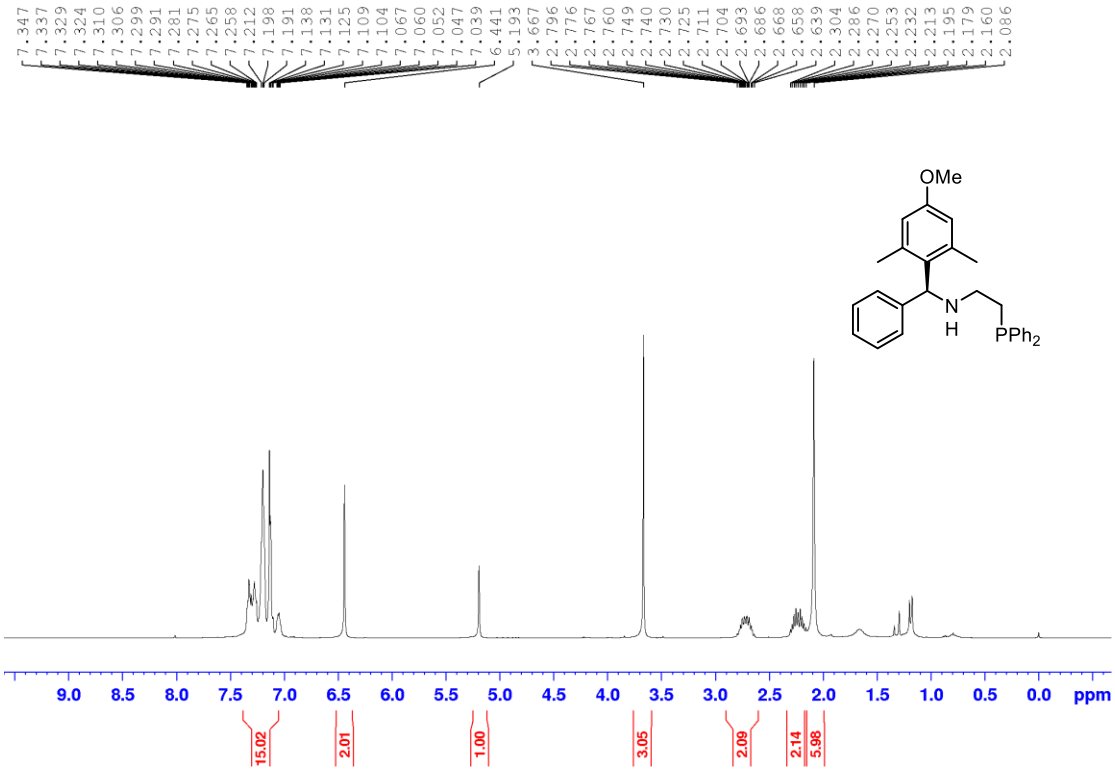

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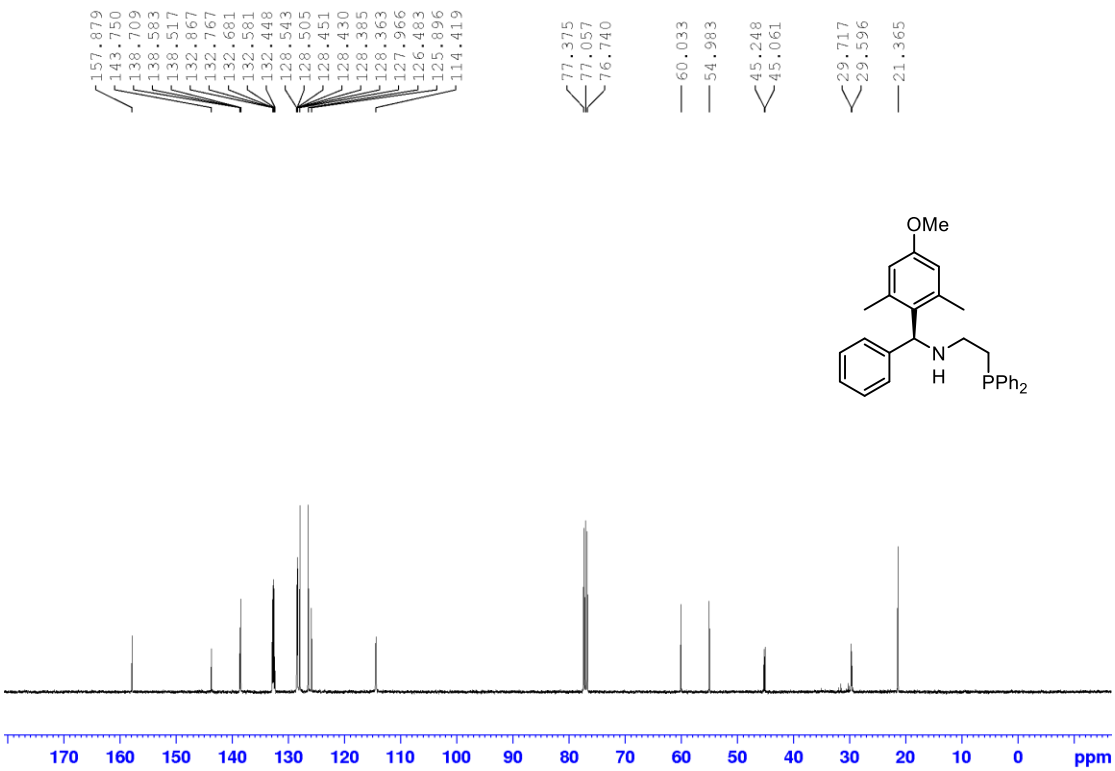

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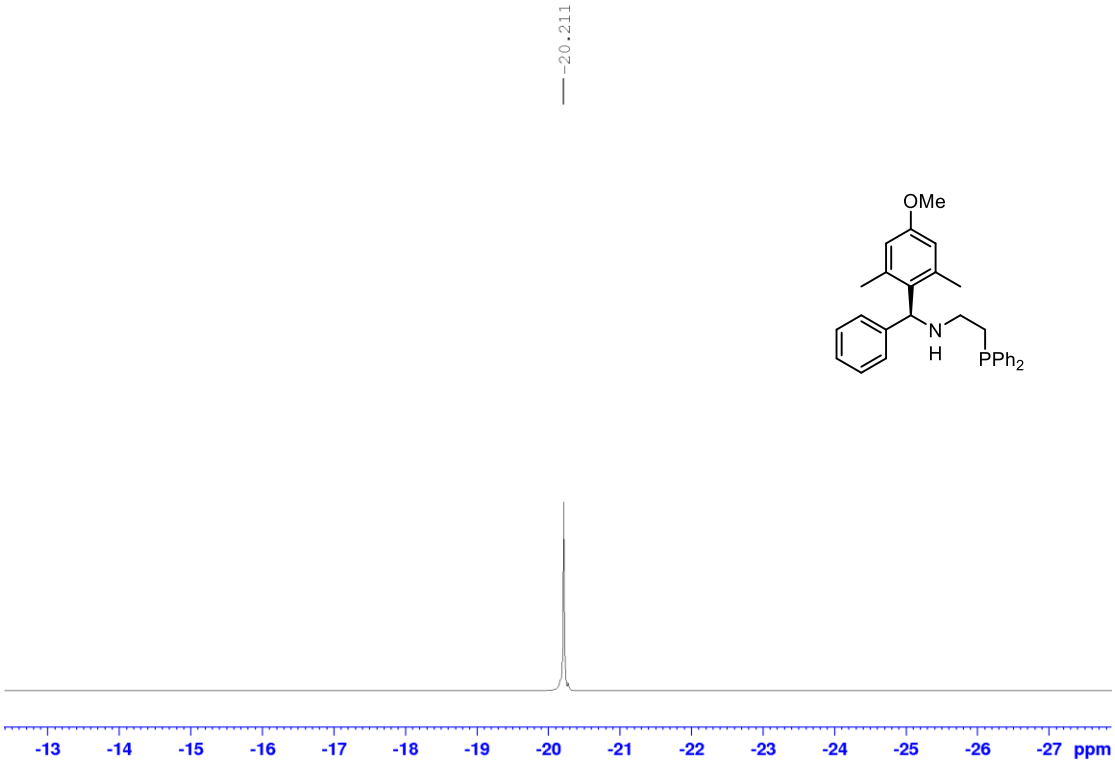

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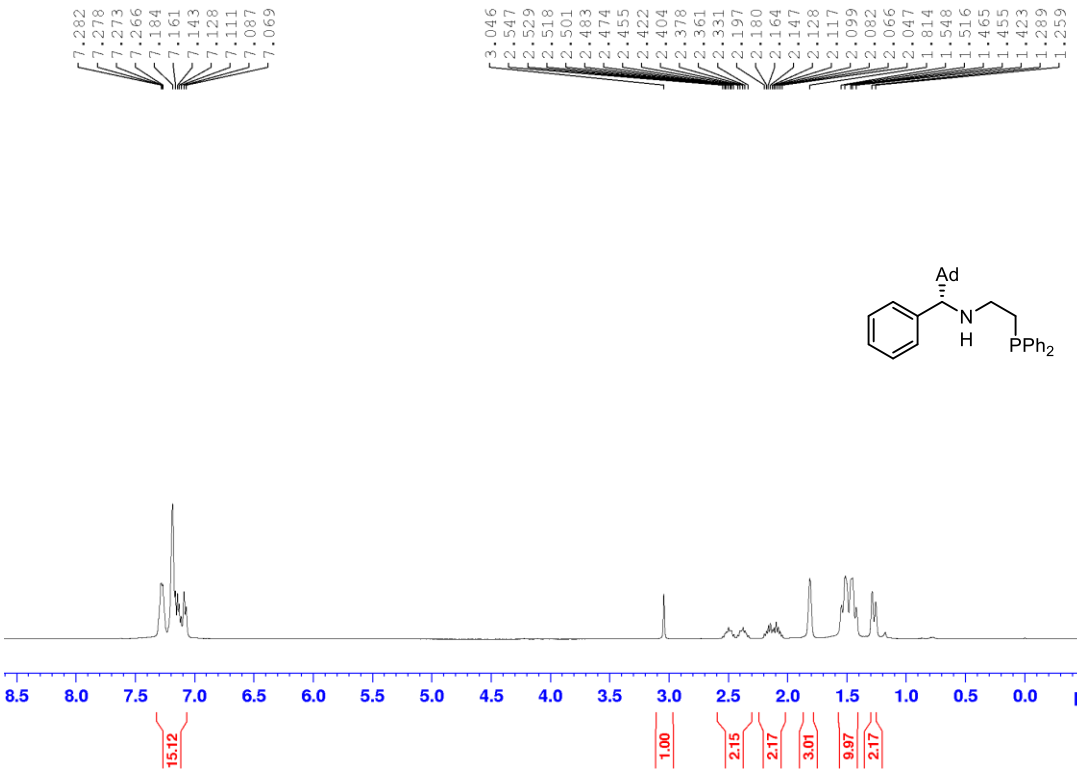

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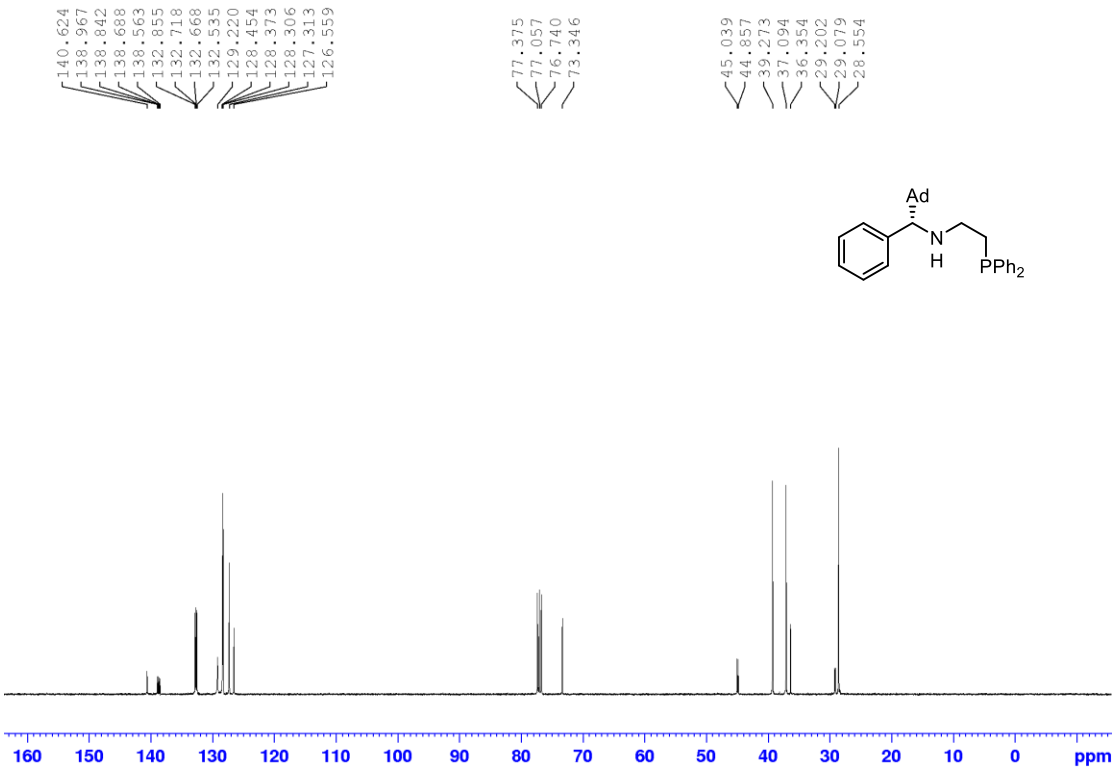

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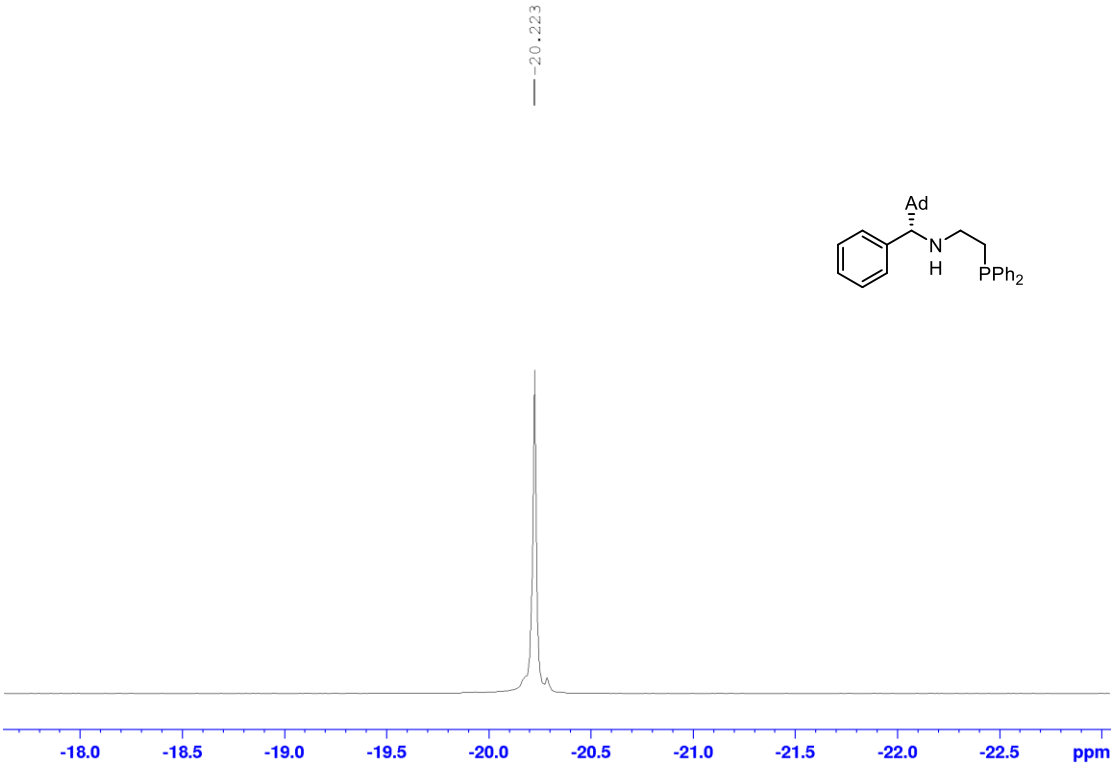

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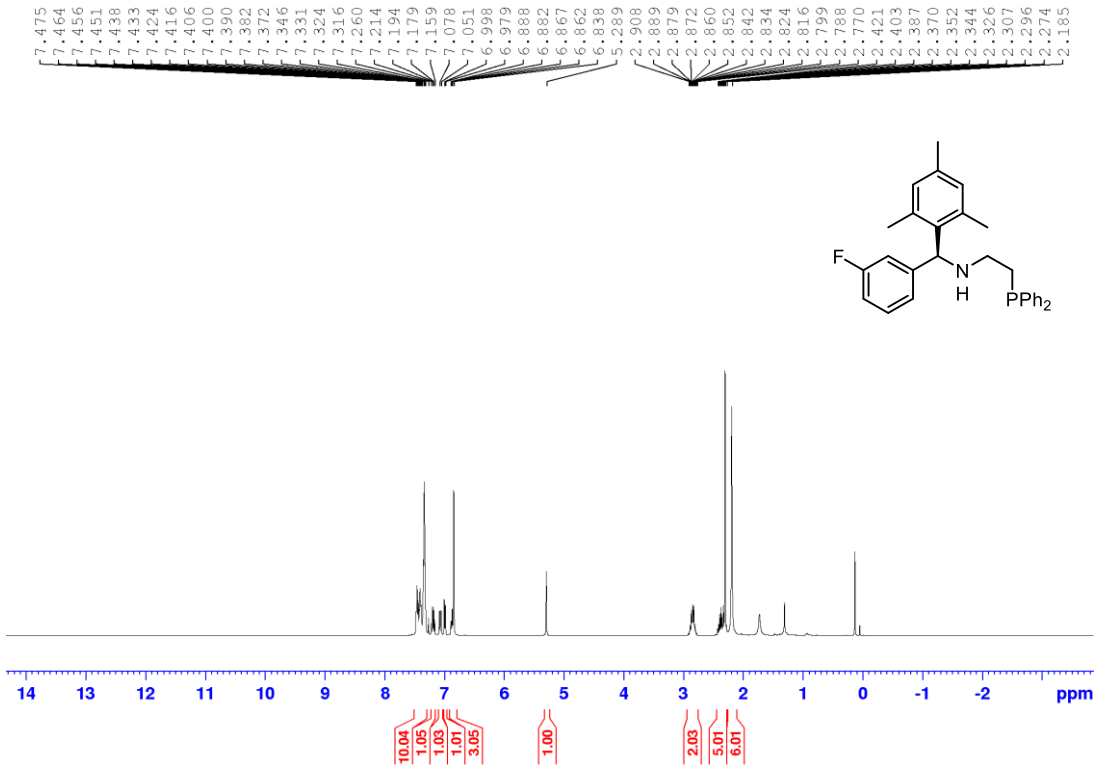

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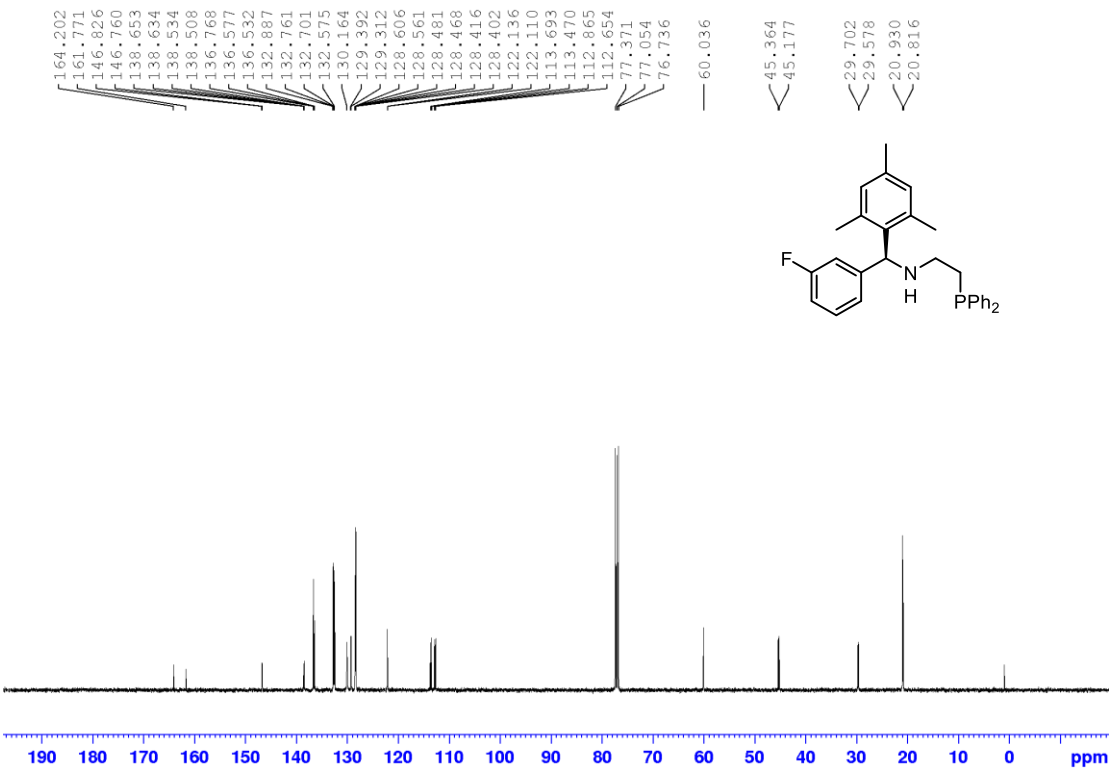

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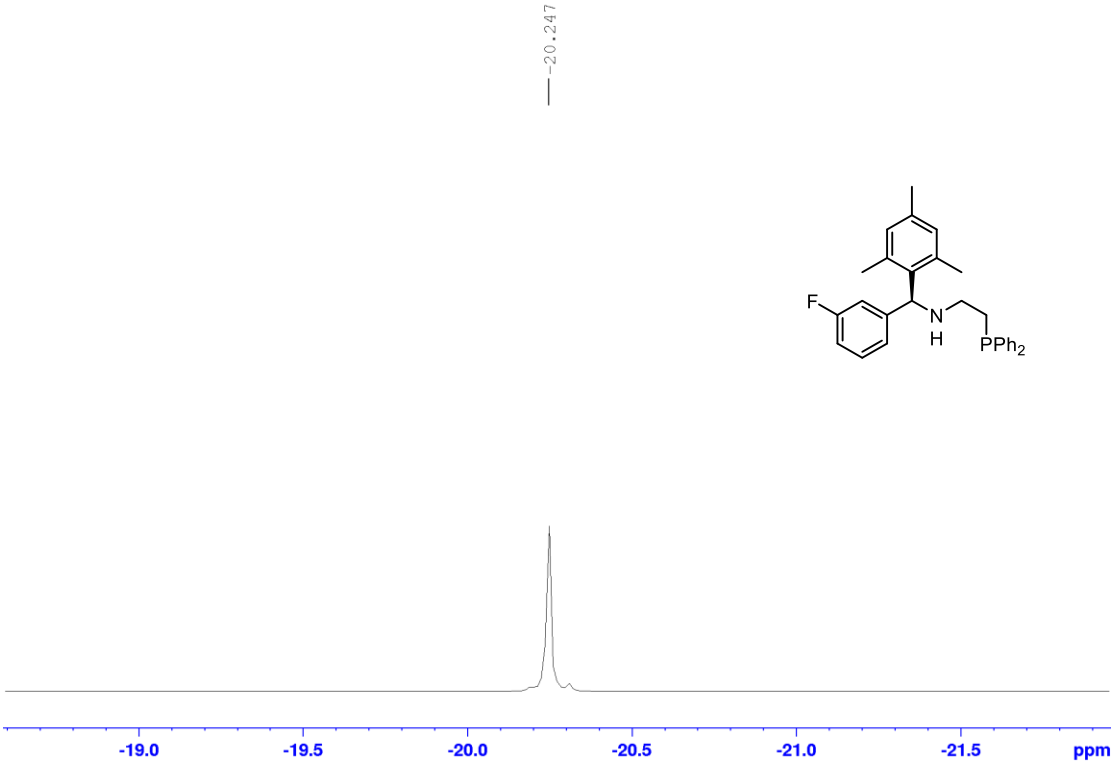

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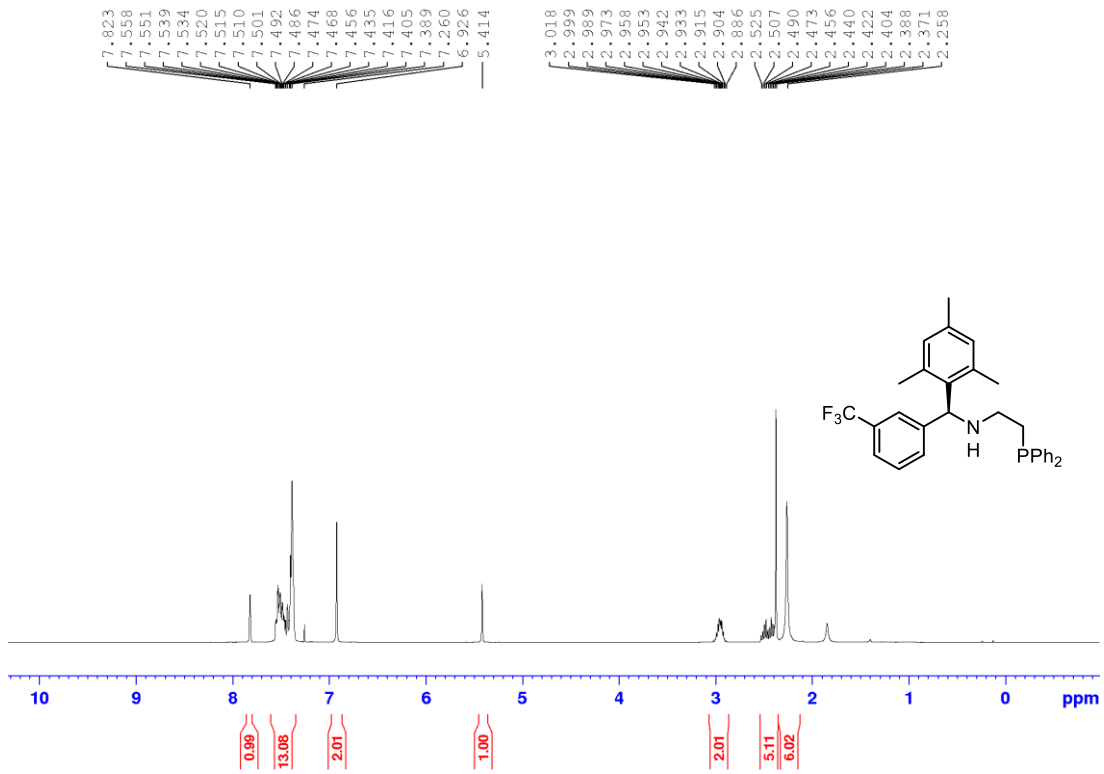

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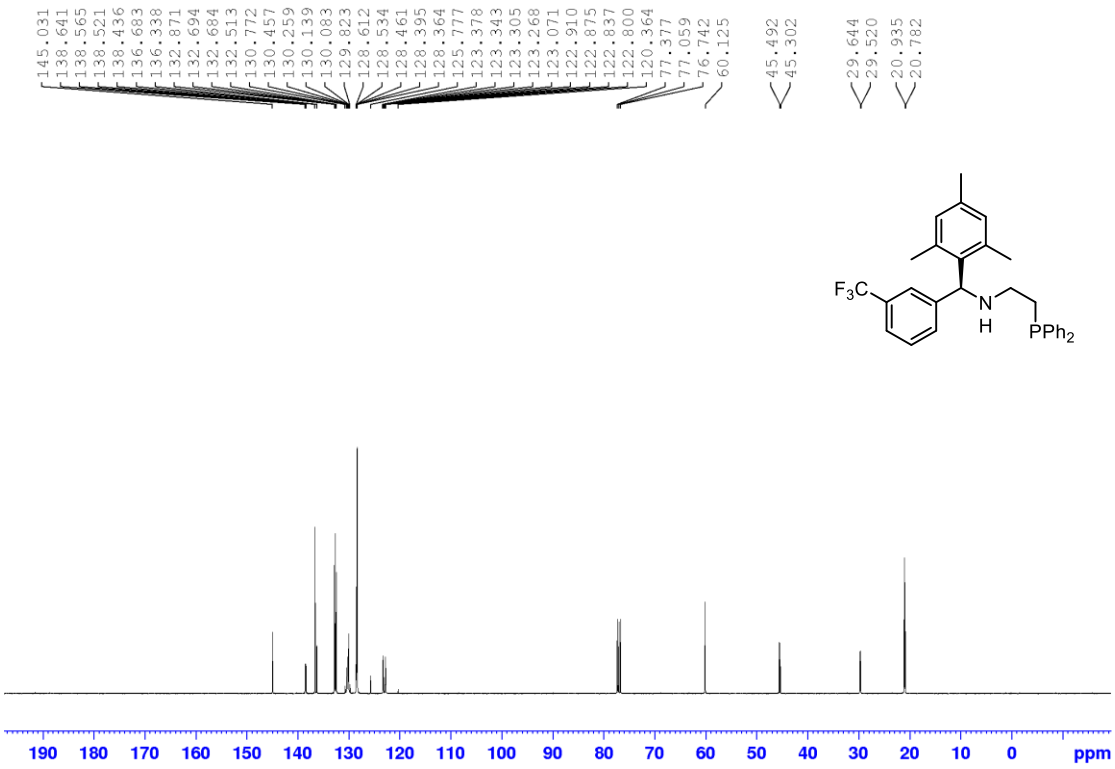

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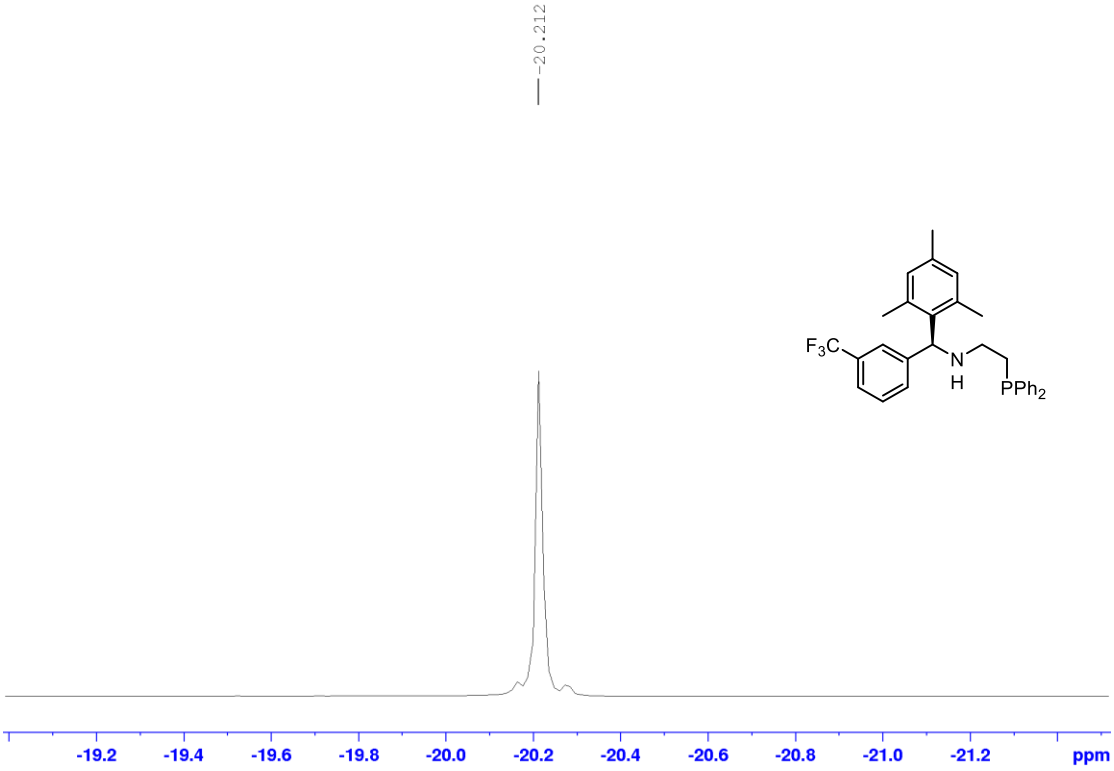

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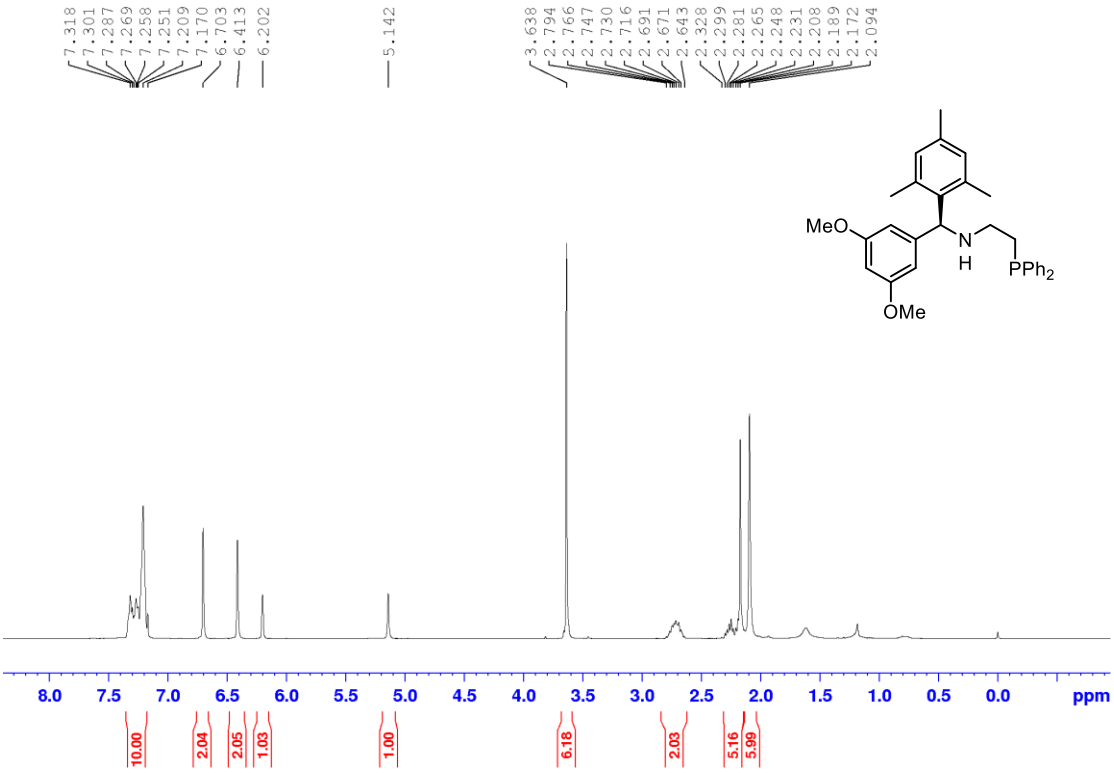

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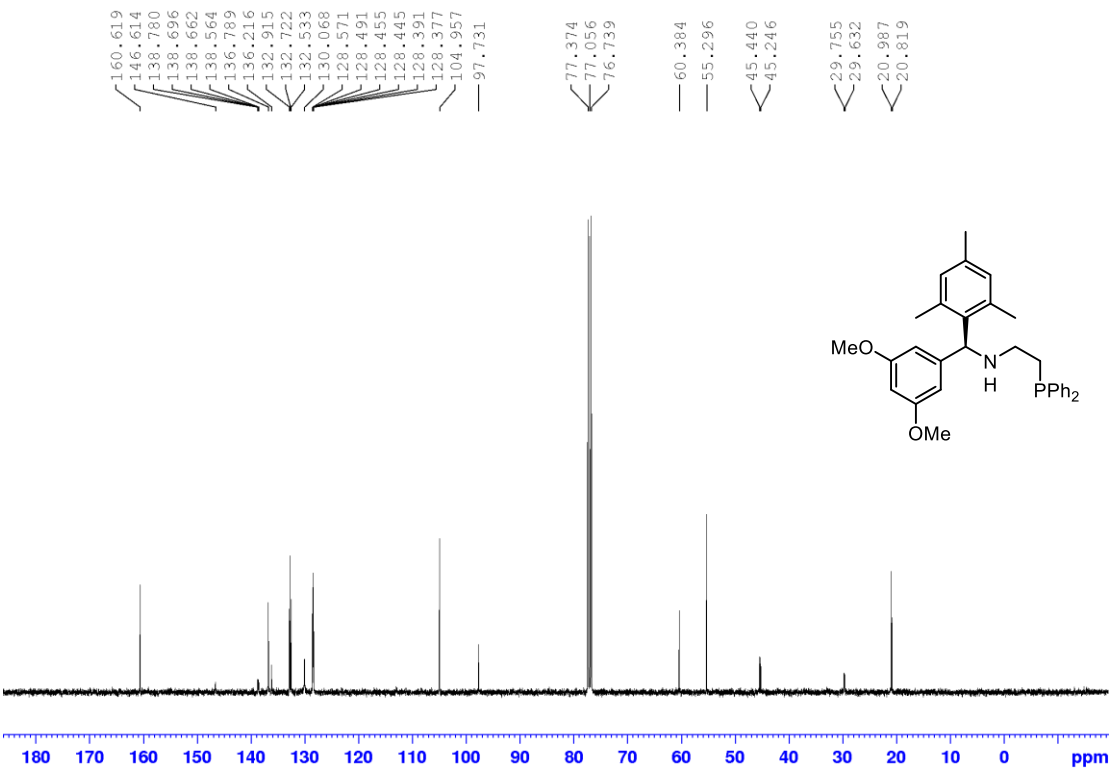

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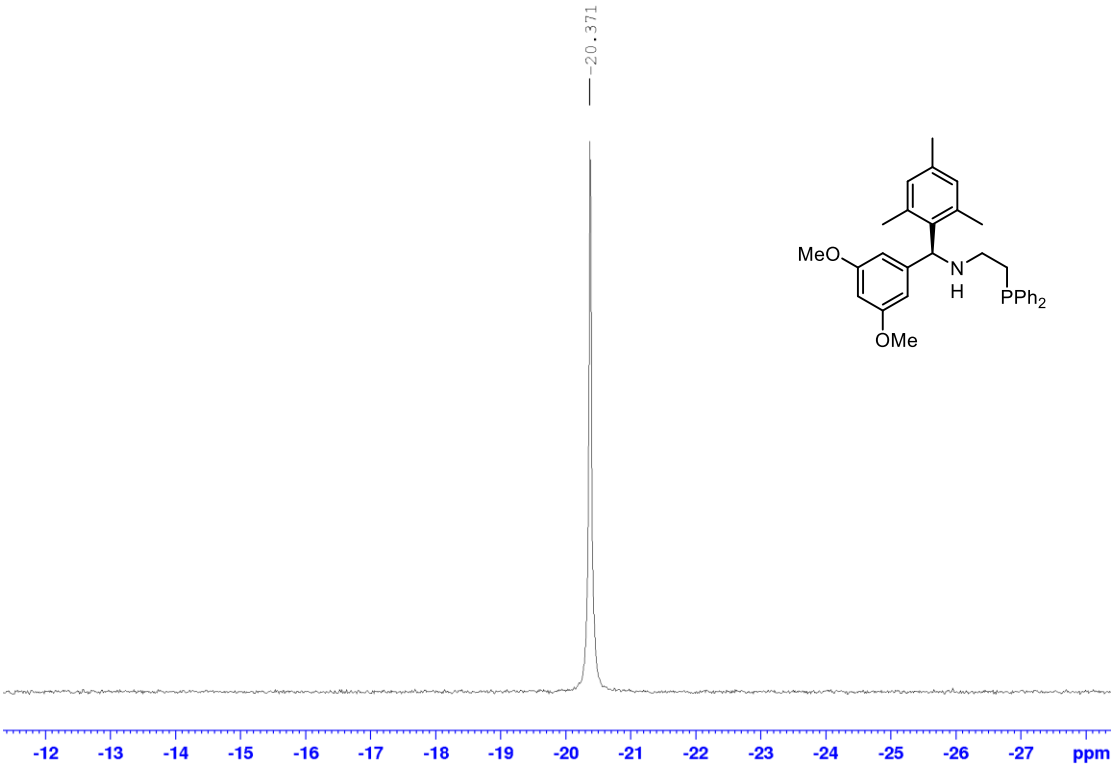

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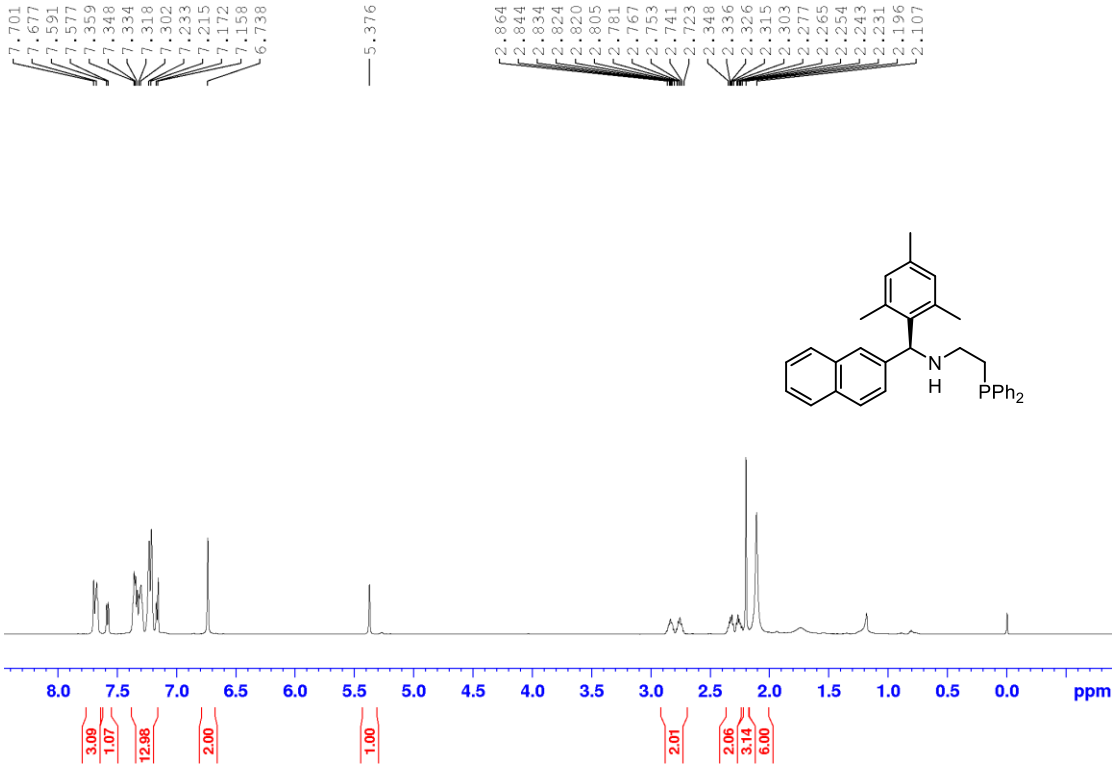
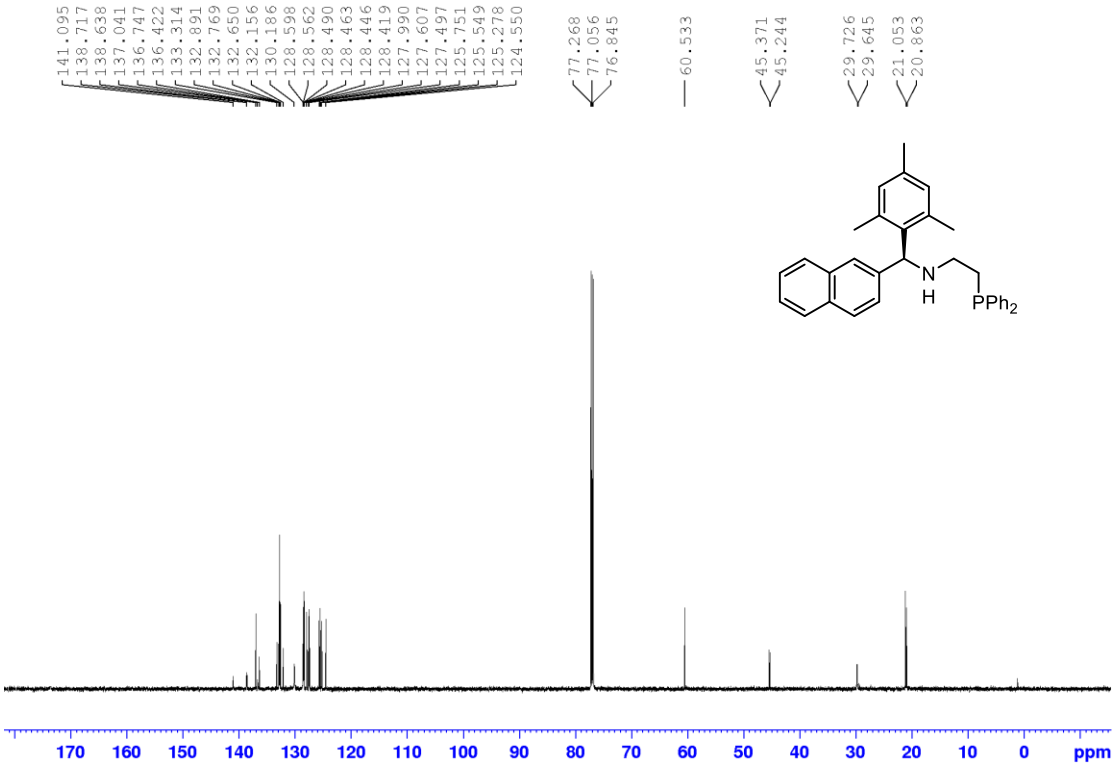
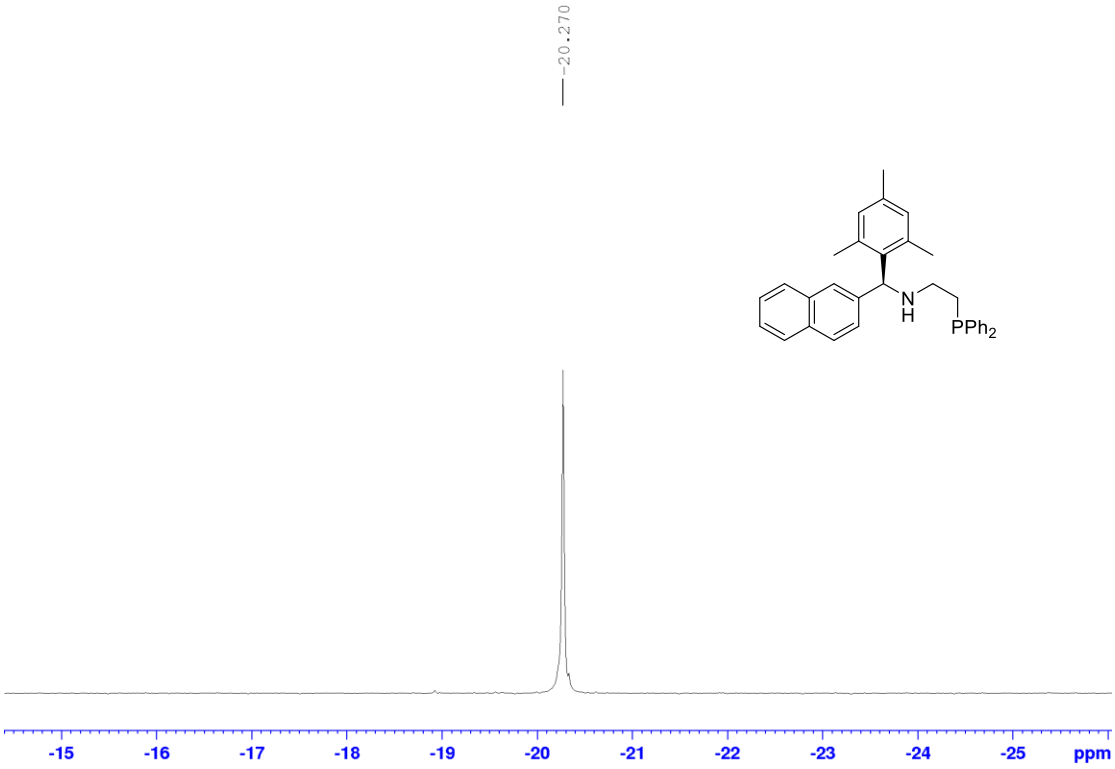


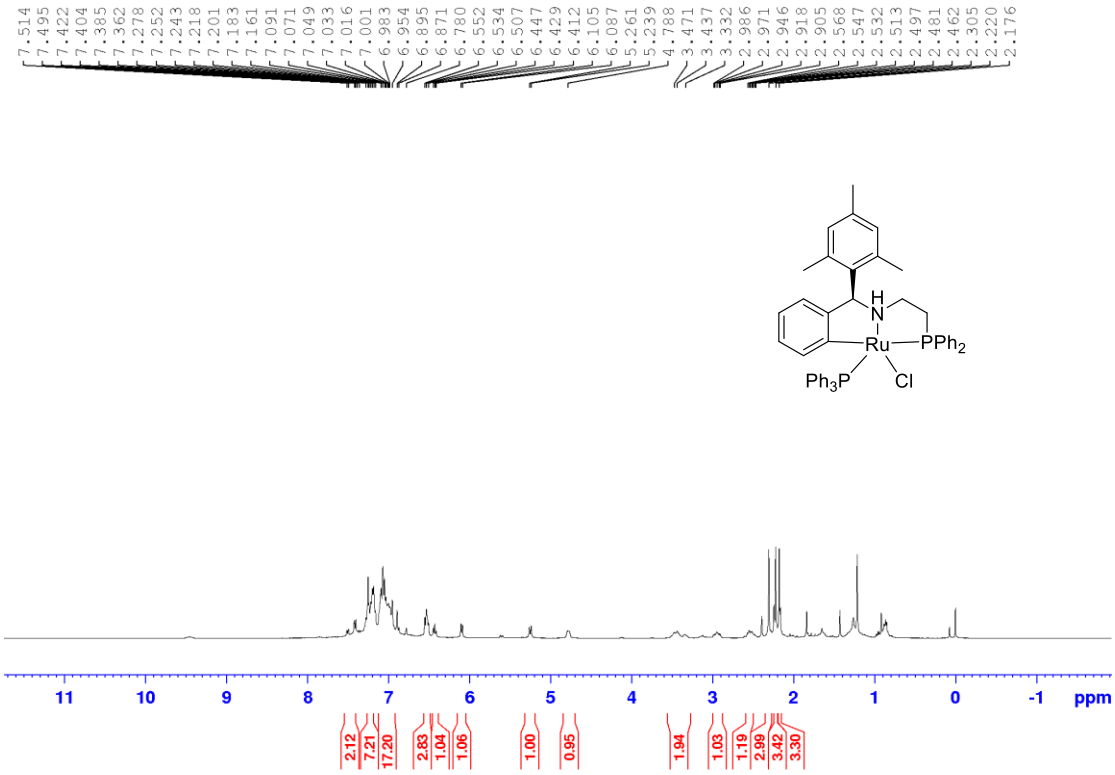
^{1}H NMR of compound **3j**



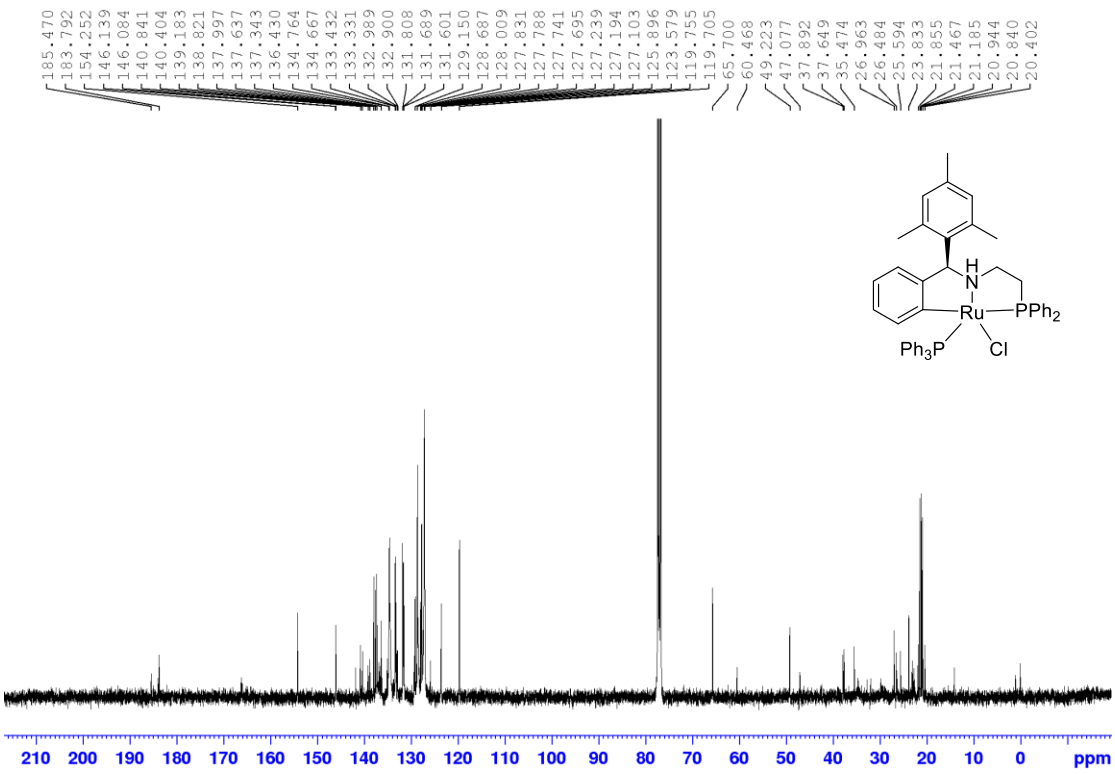
^{13}C NMR of compound **3j**



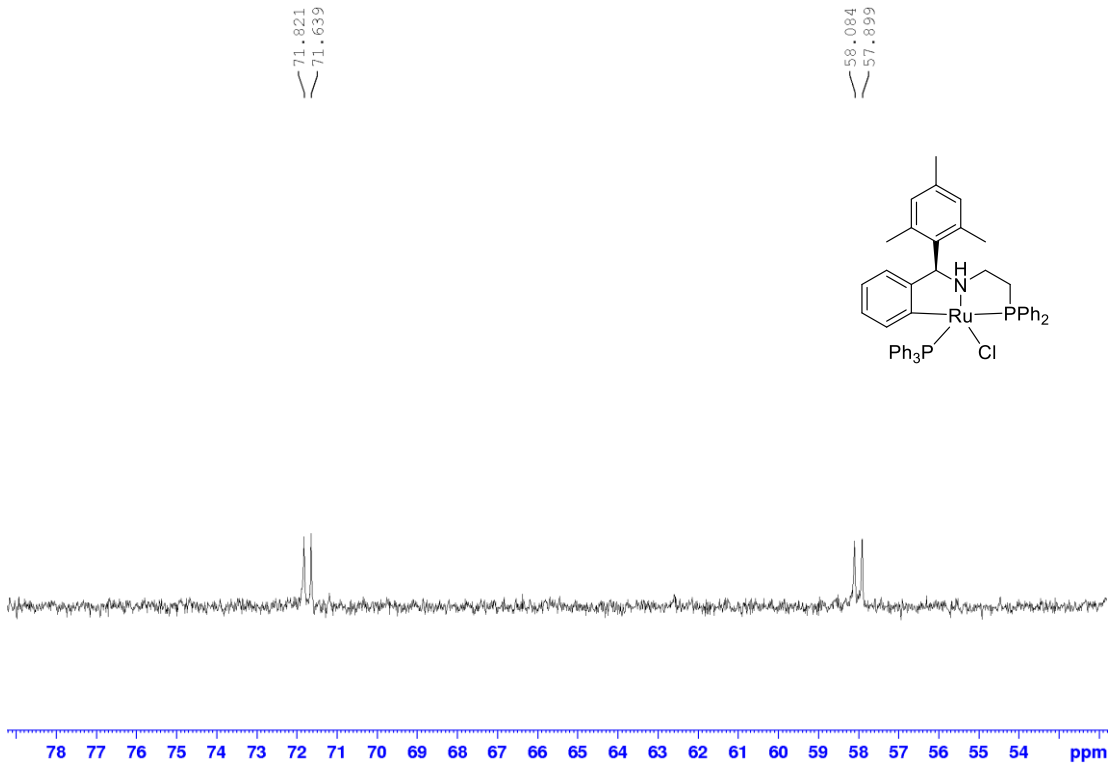
^{31}P NMR of compound **3j**



^{1}H NMR of compound **4a**



^{13}C NMR of compound **4a**



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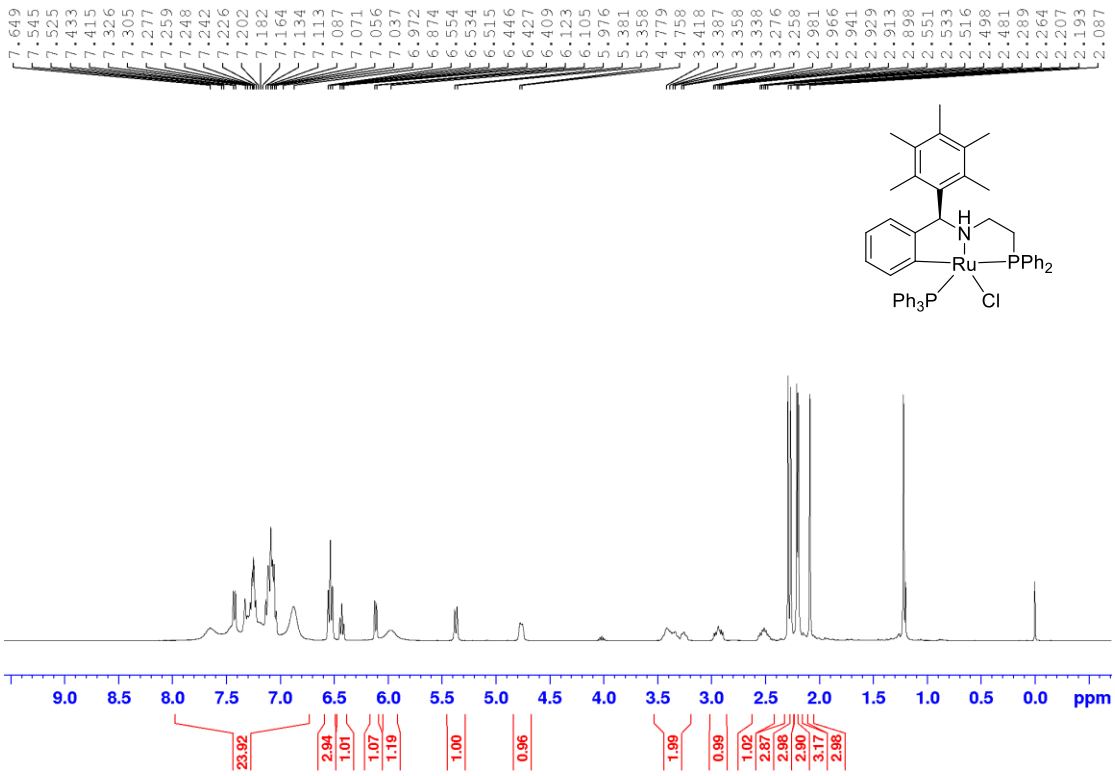
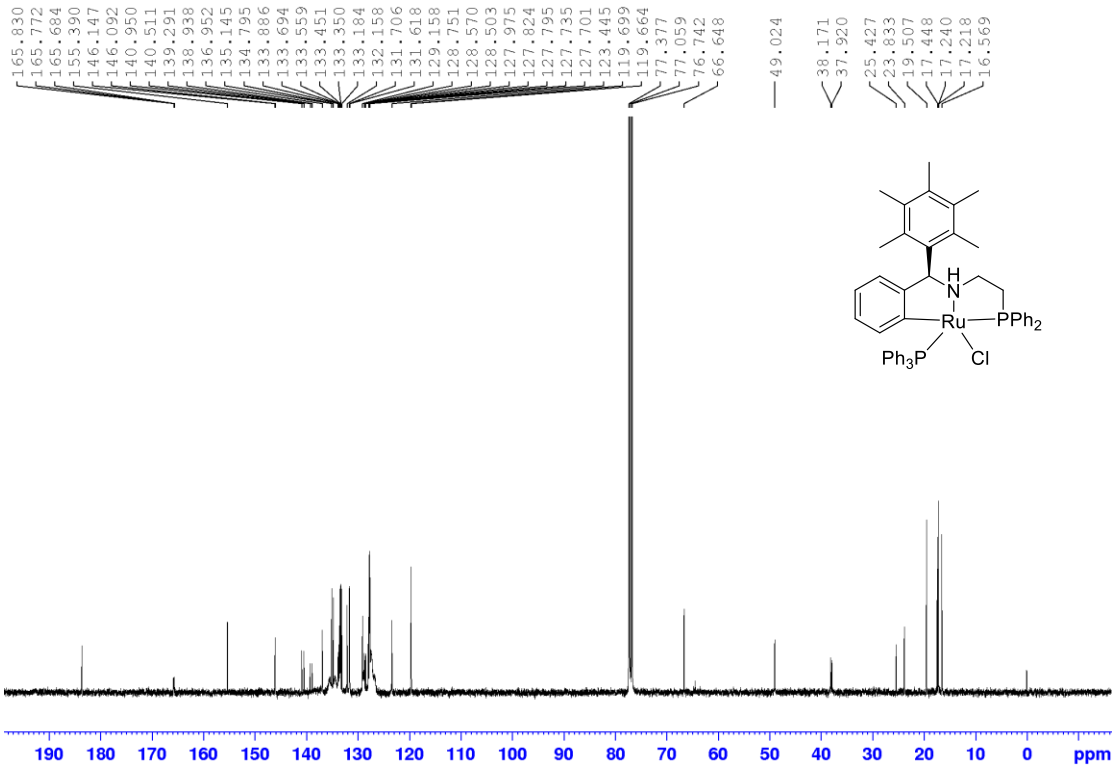


^{1}H NMR of compound **4b**



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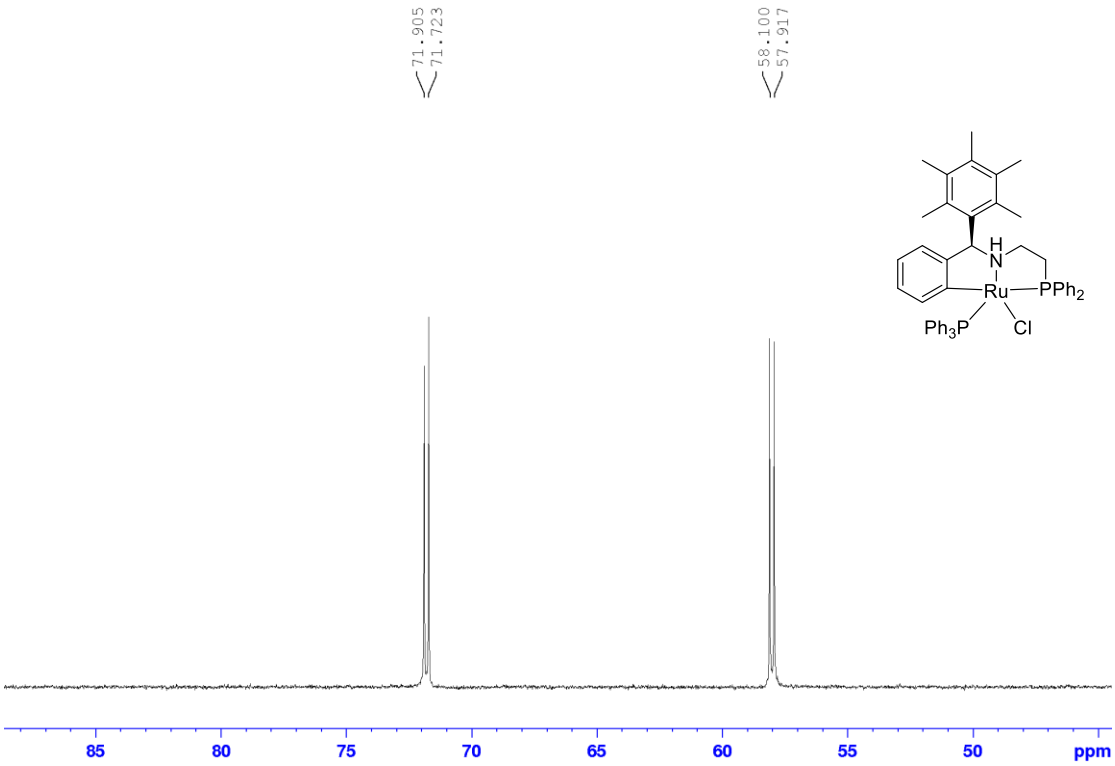
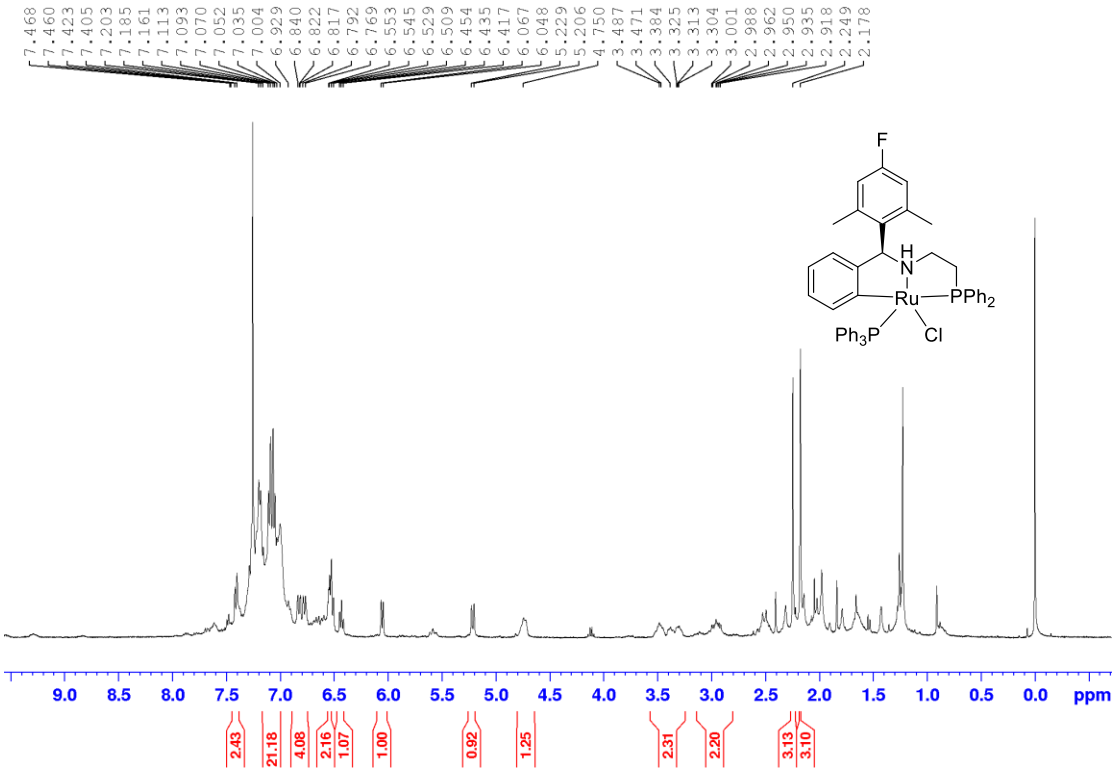
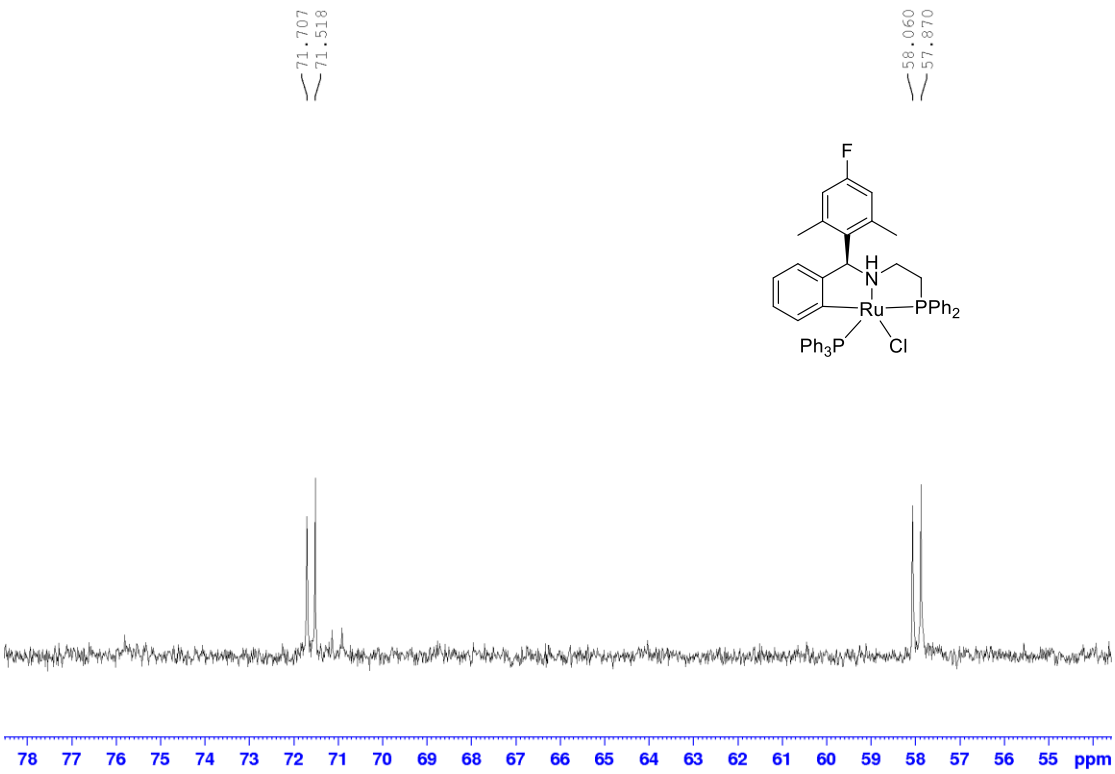


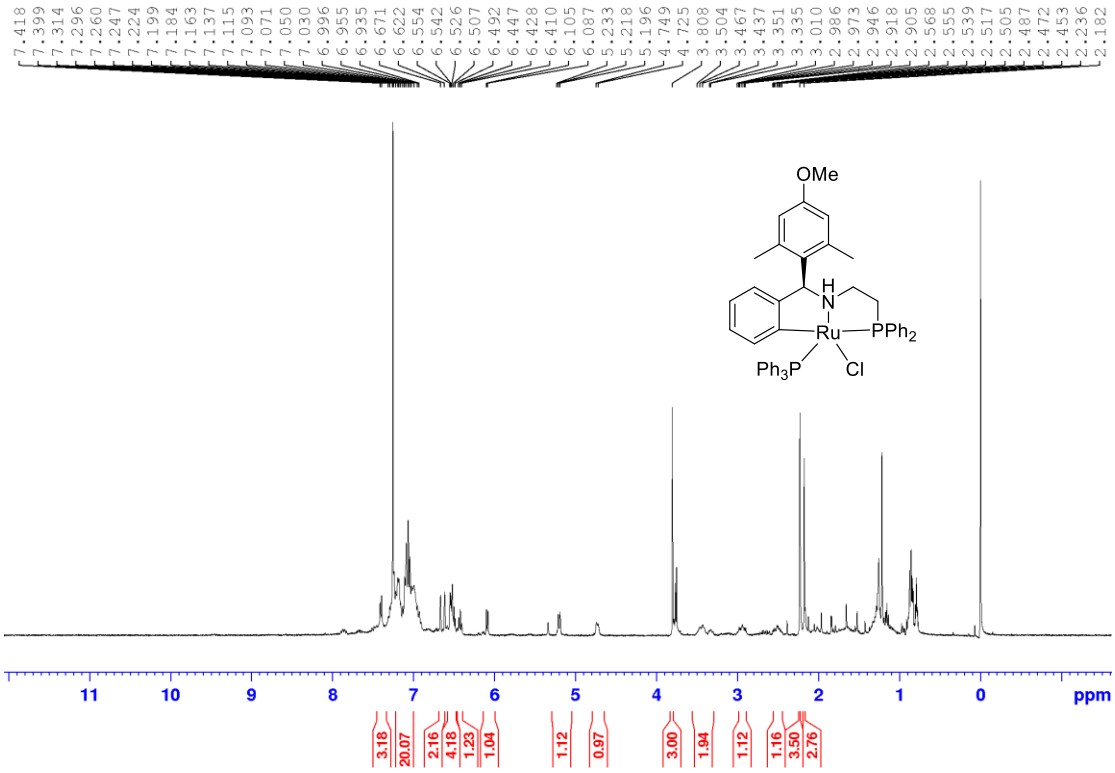
^{31}P NMR of compound **4b**



^{1}H NMR of compound **4d**



^{31}P NMR of compound **4d**



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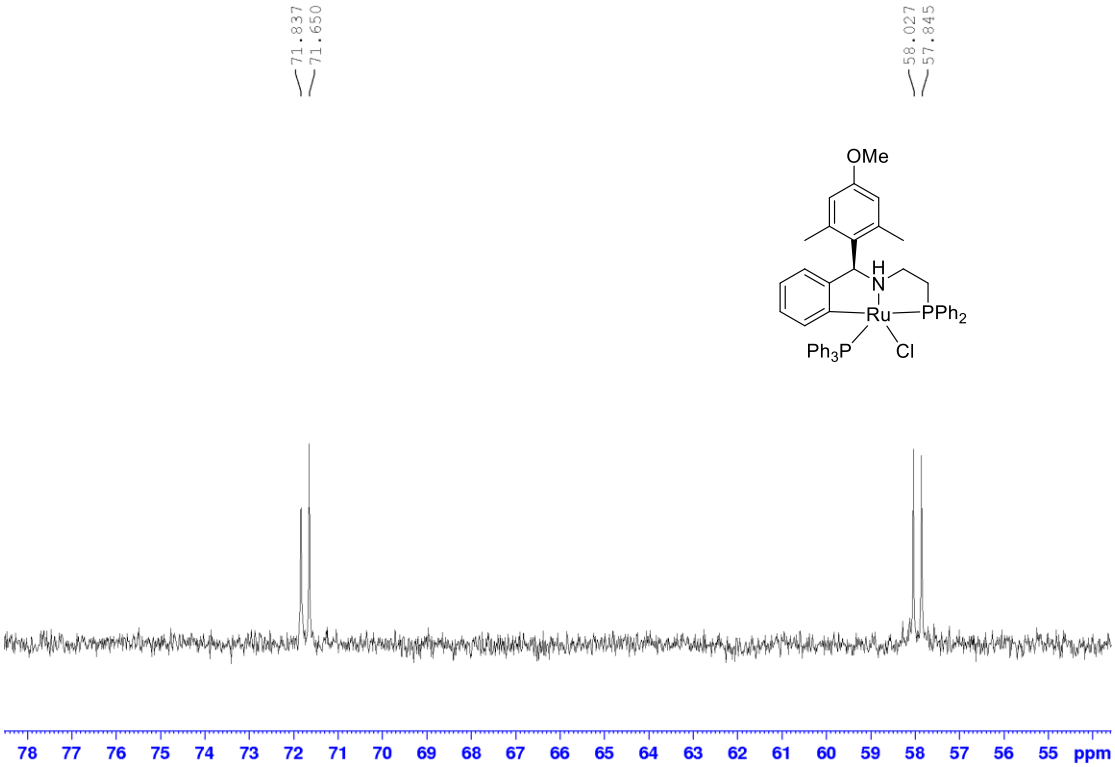

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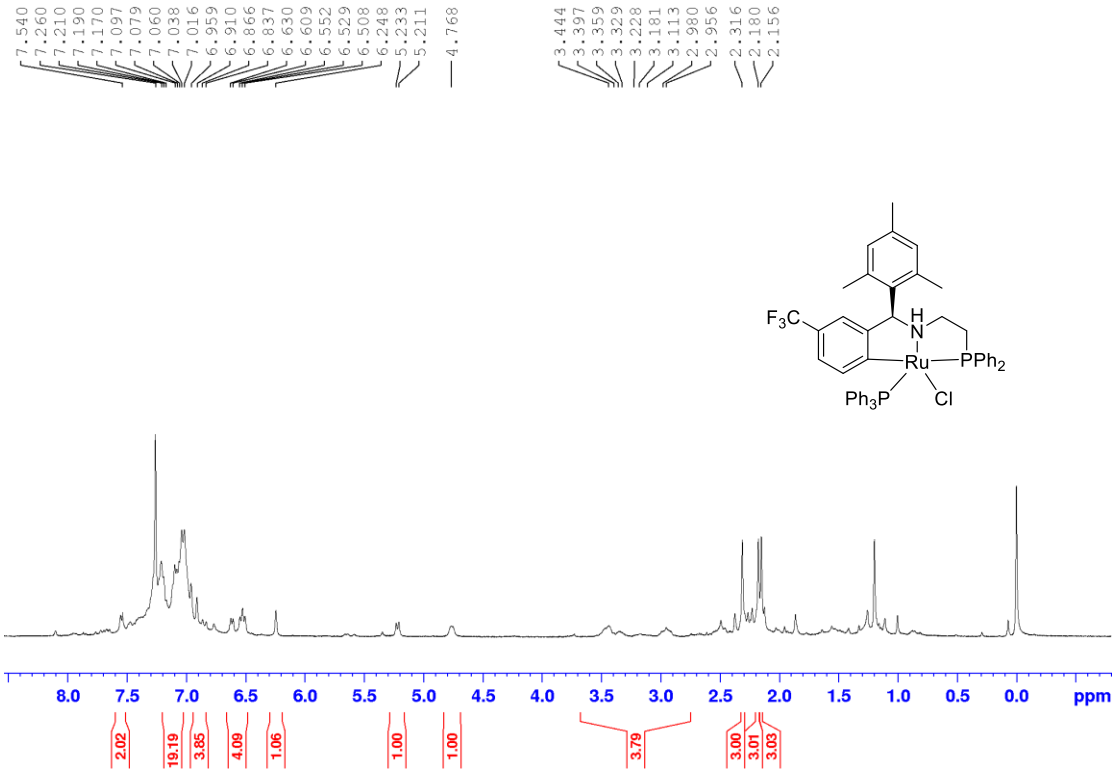

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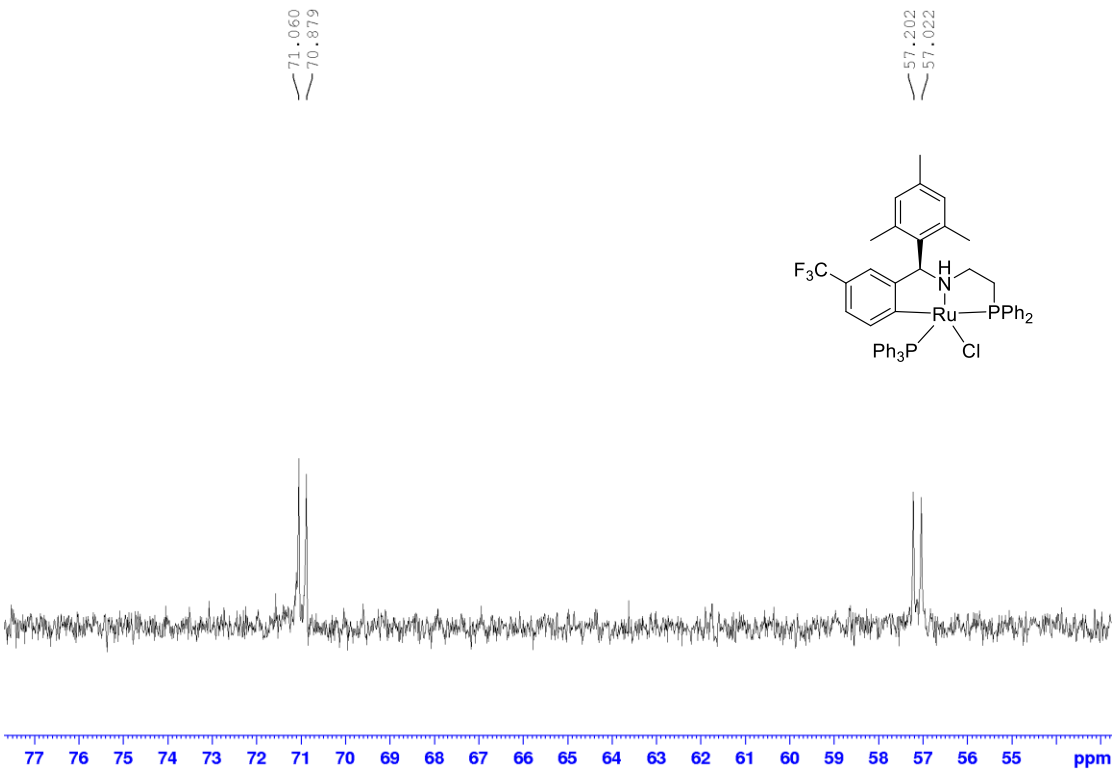
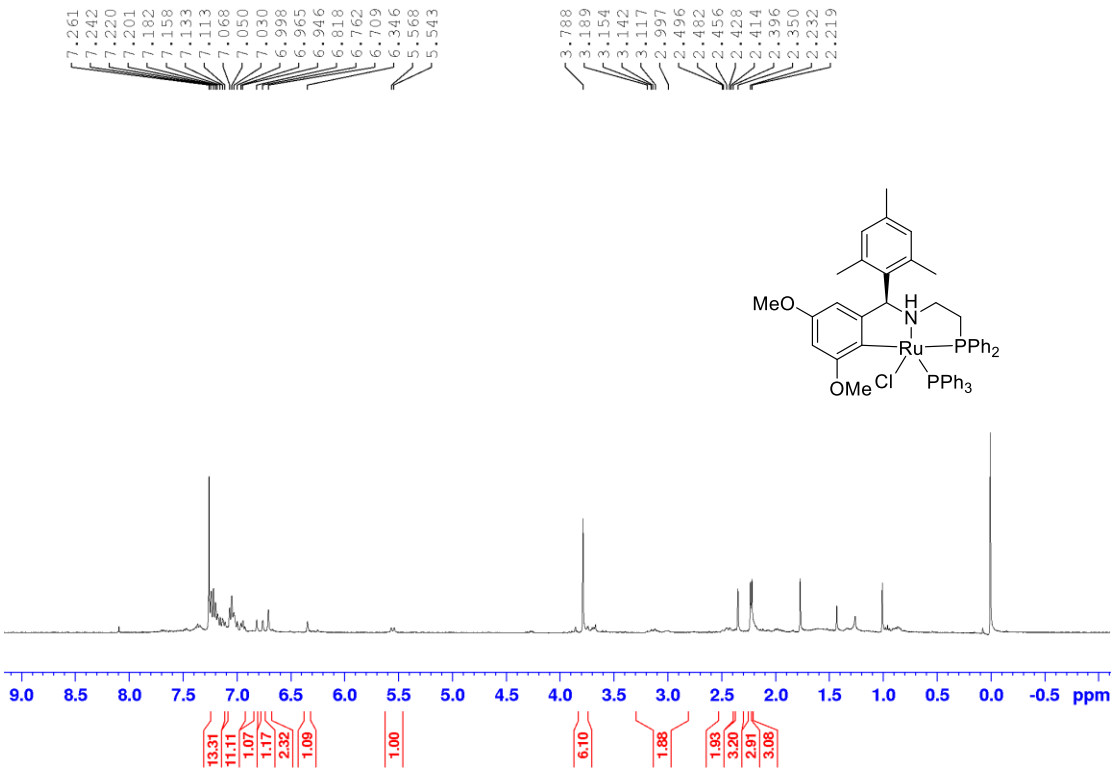
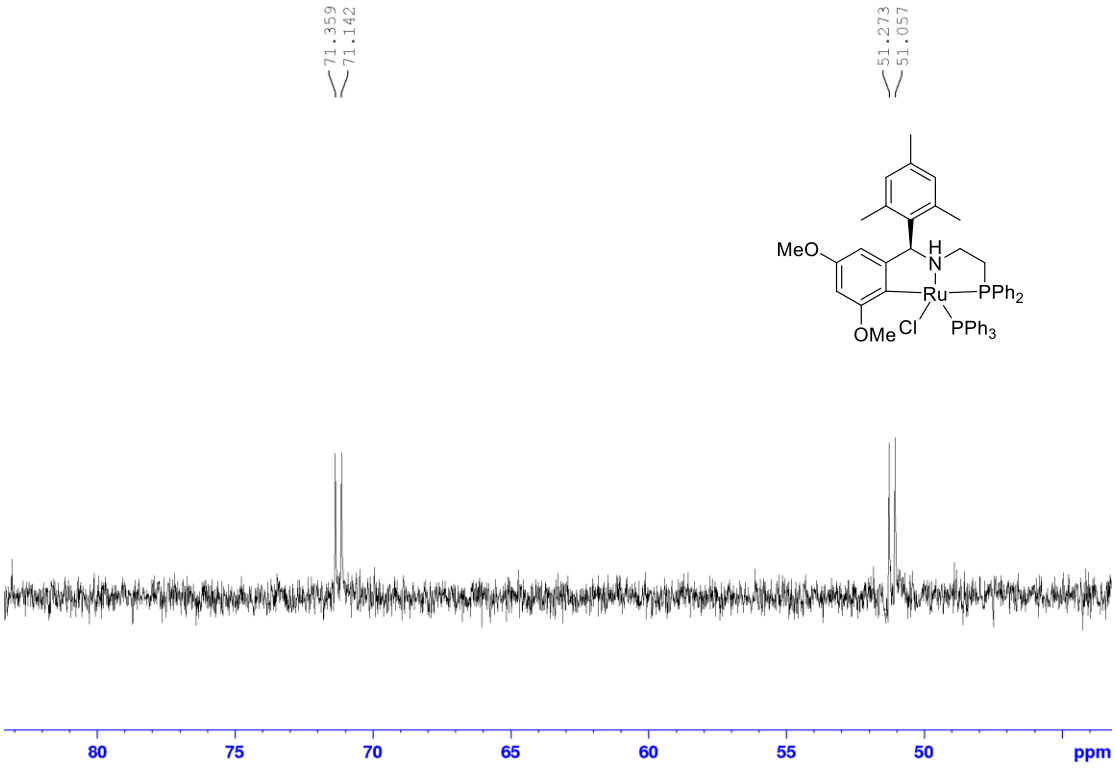


^{31}P NMR of compound **4h**



^{1}H NMR of compound **4i**



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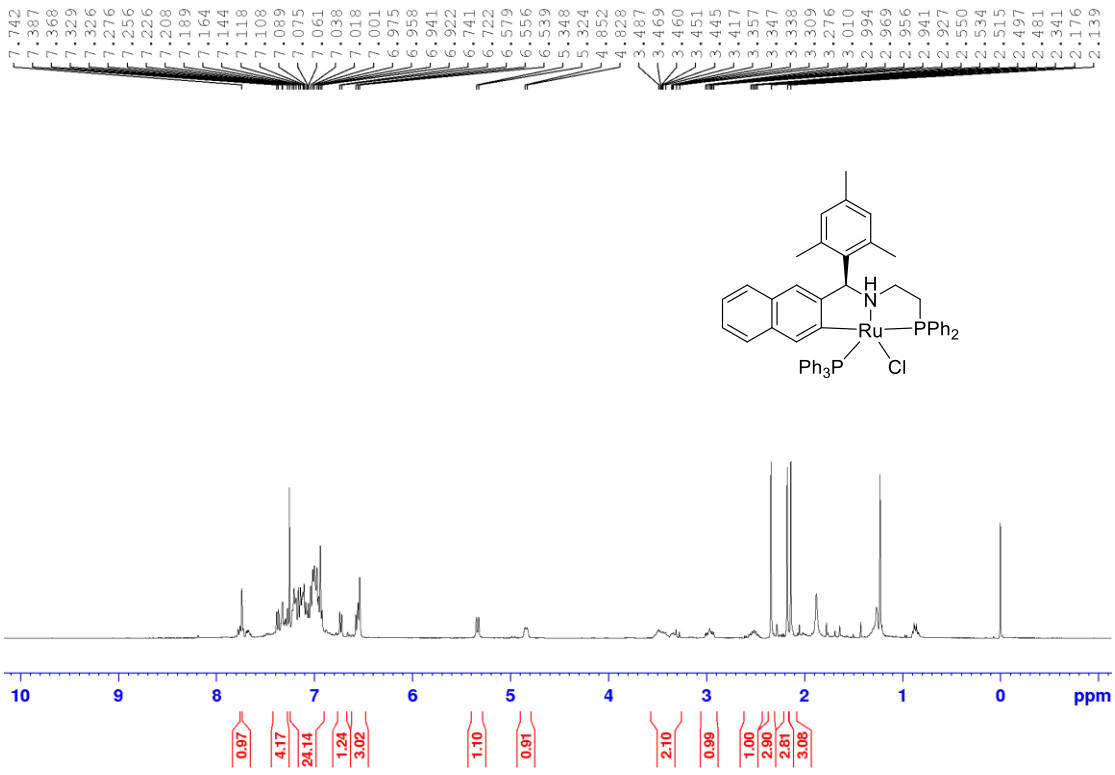

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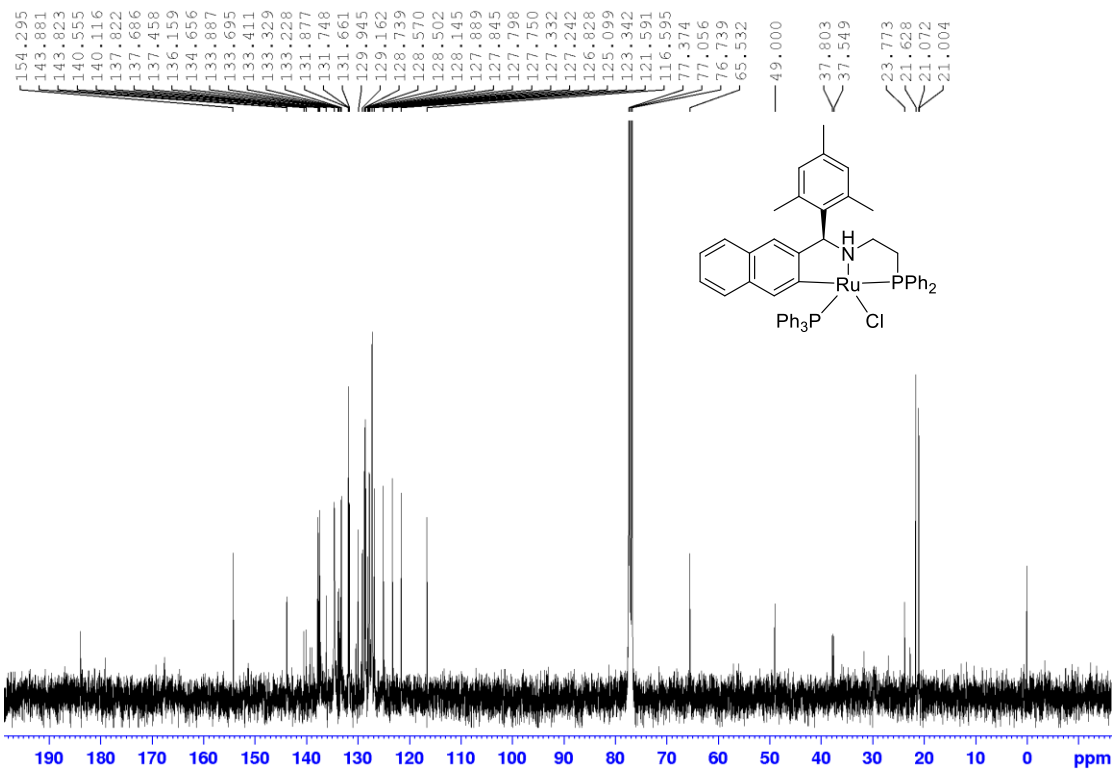

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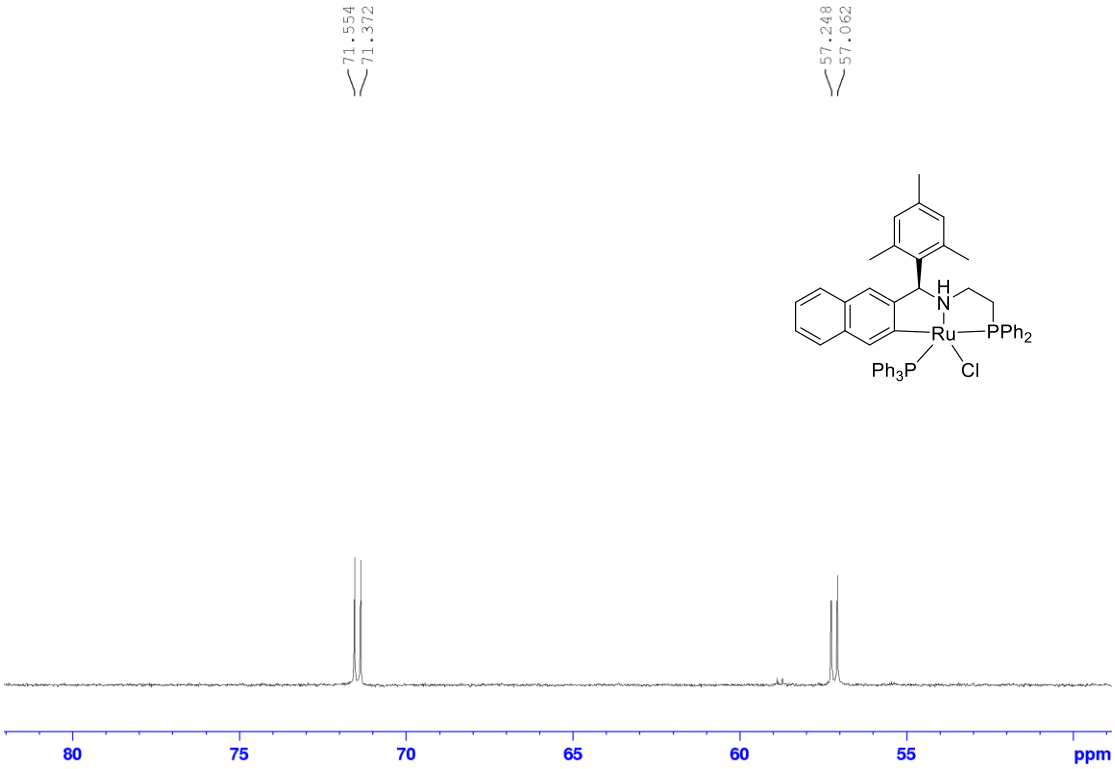

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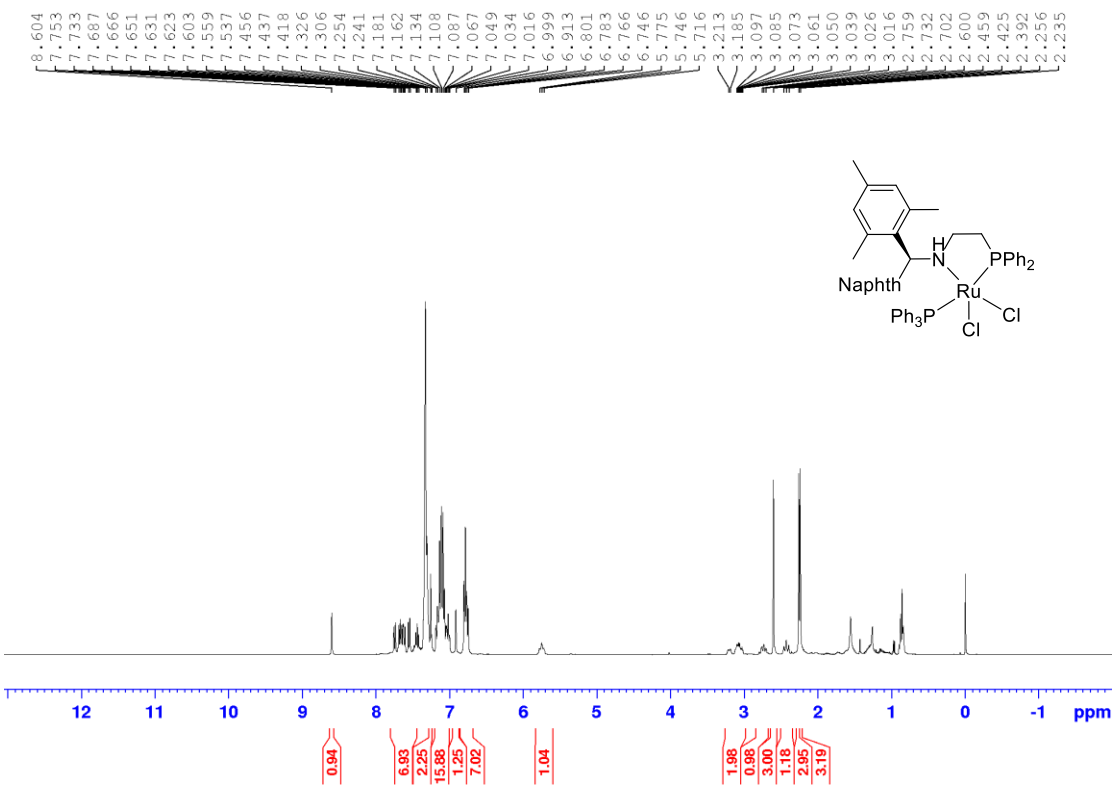

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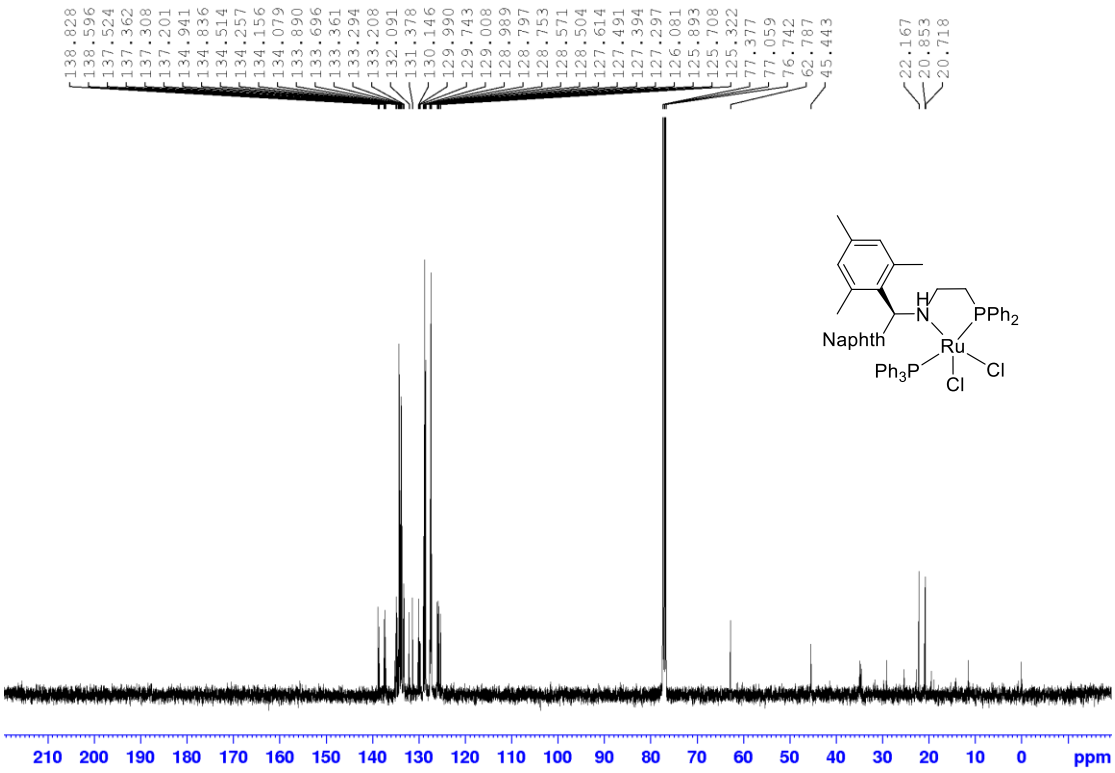

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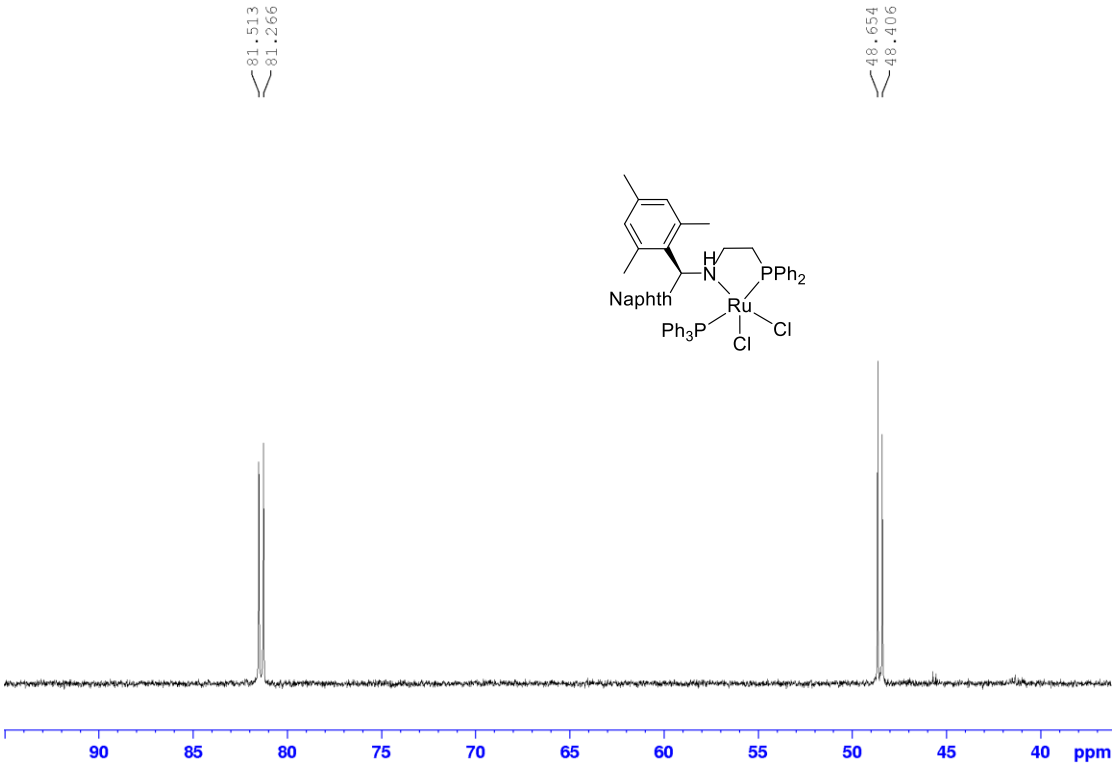

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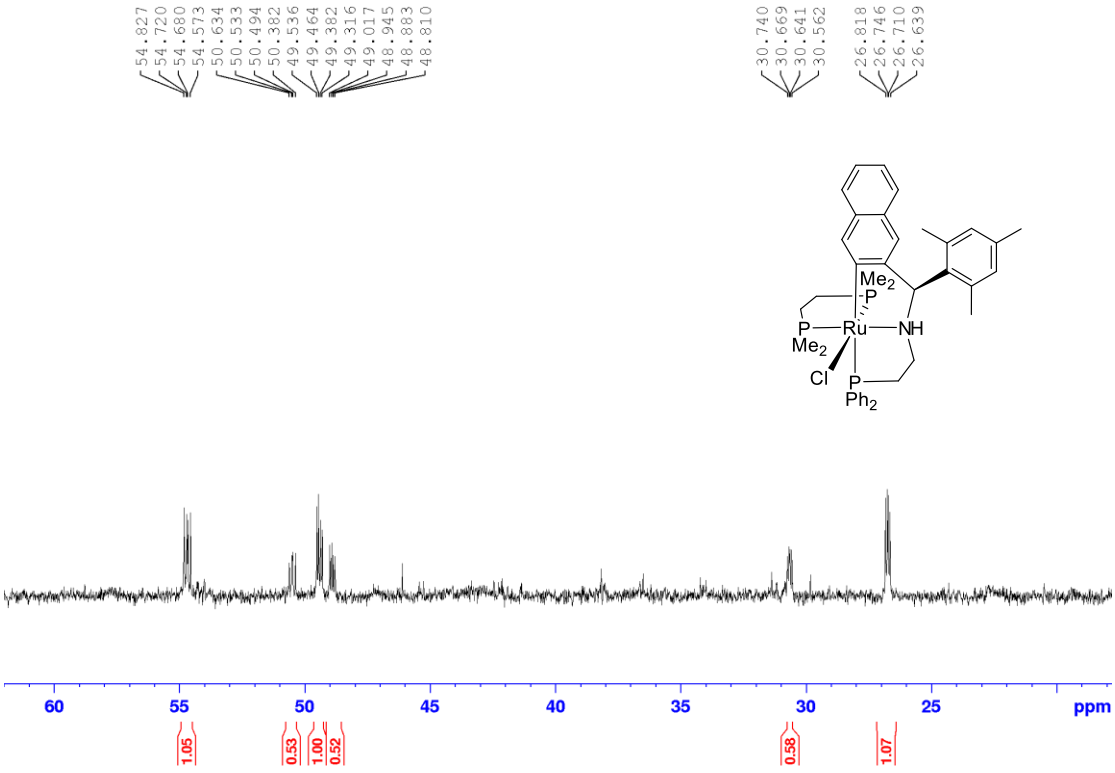
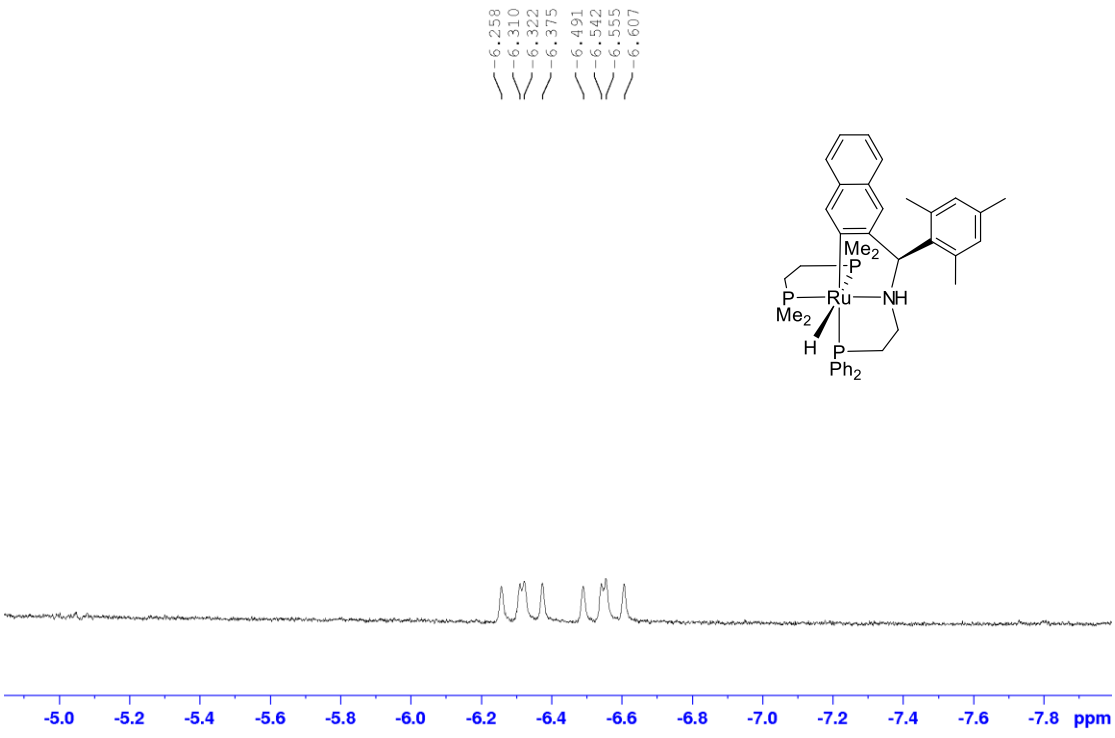
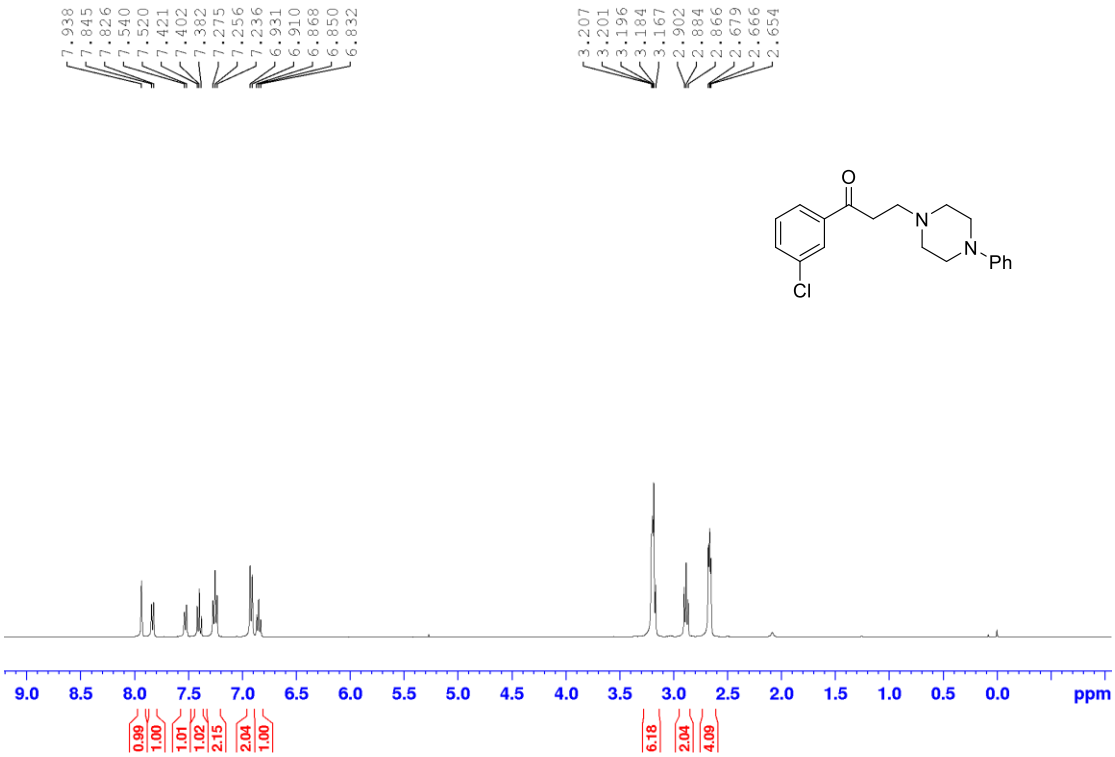


^{31}P NMR of compound **4l**



^{1}H NMR of compound **4m**



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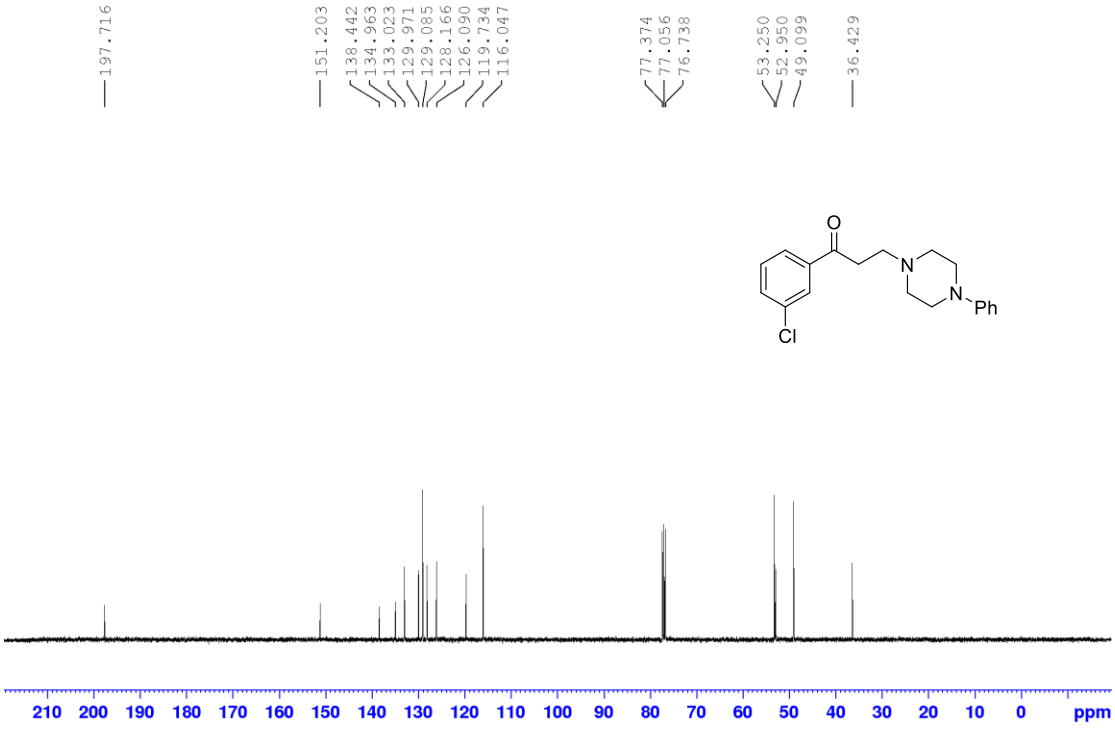
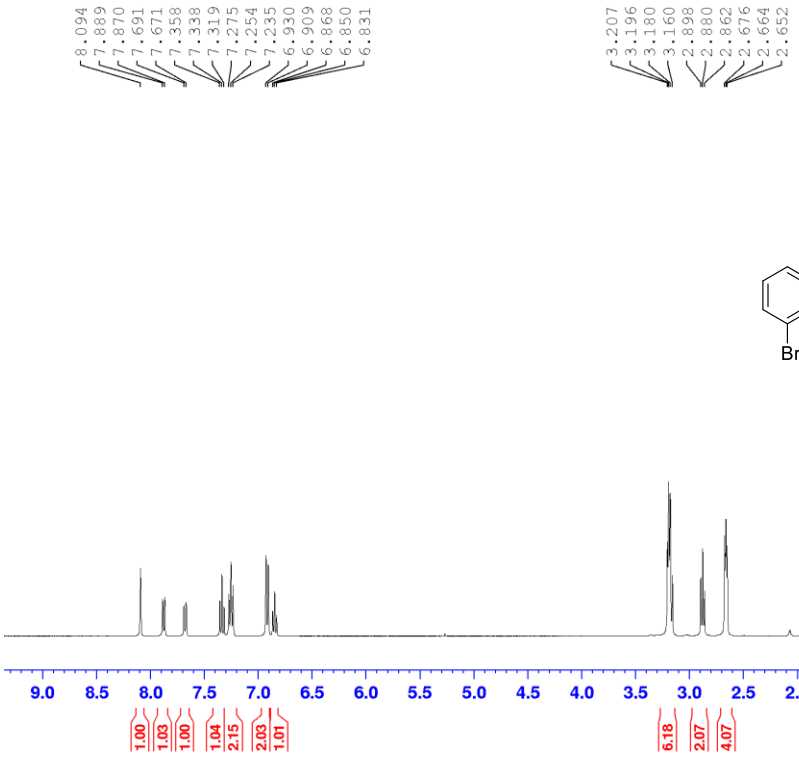


^{13}C NMR of compound **5b**



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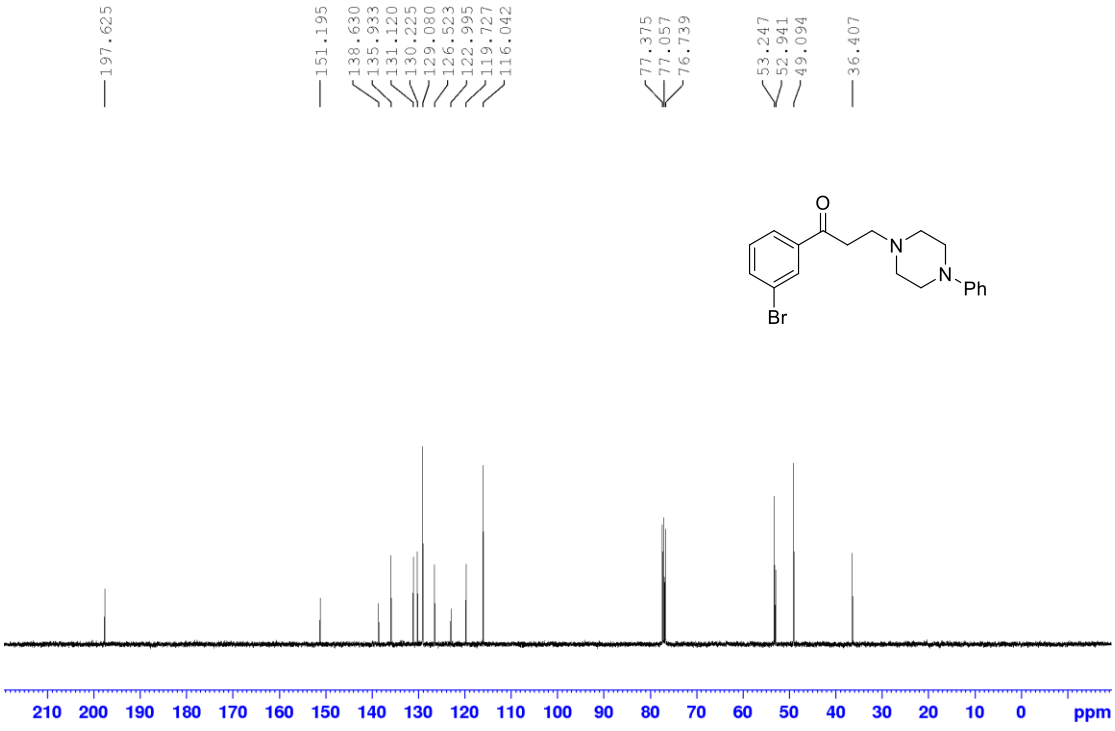

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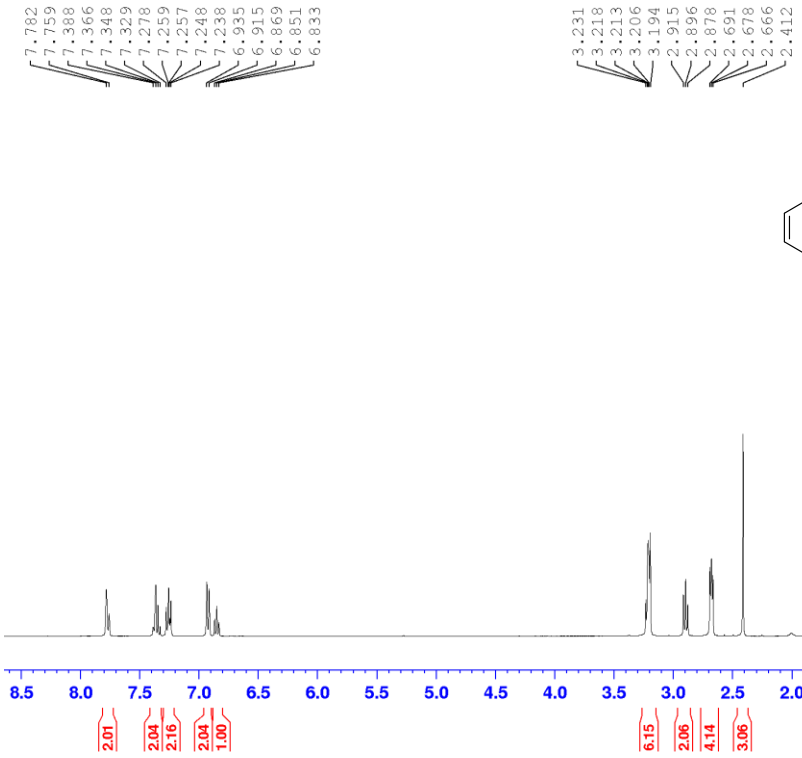

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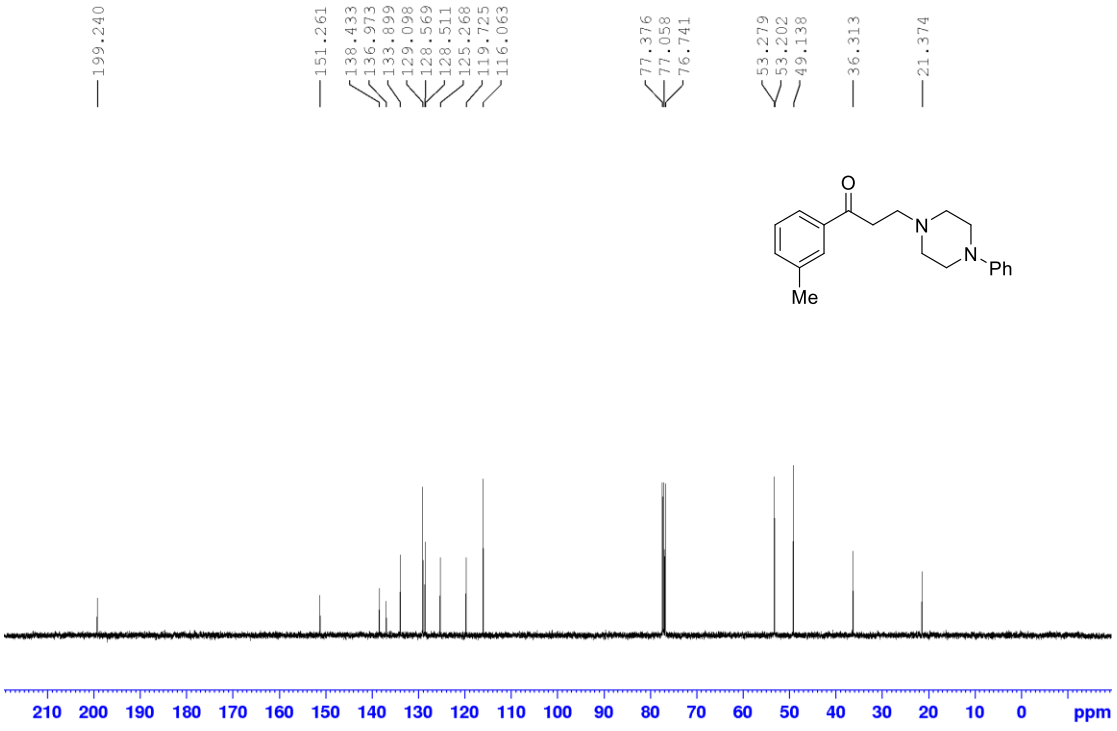

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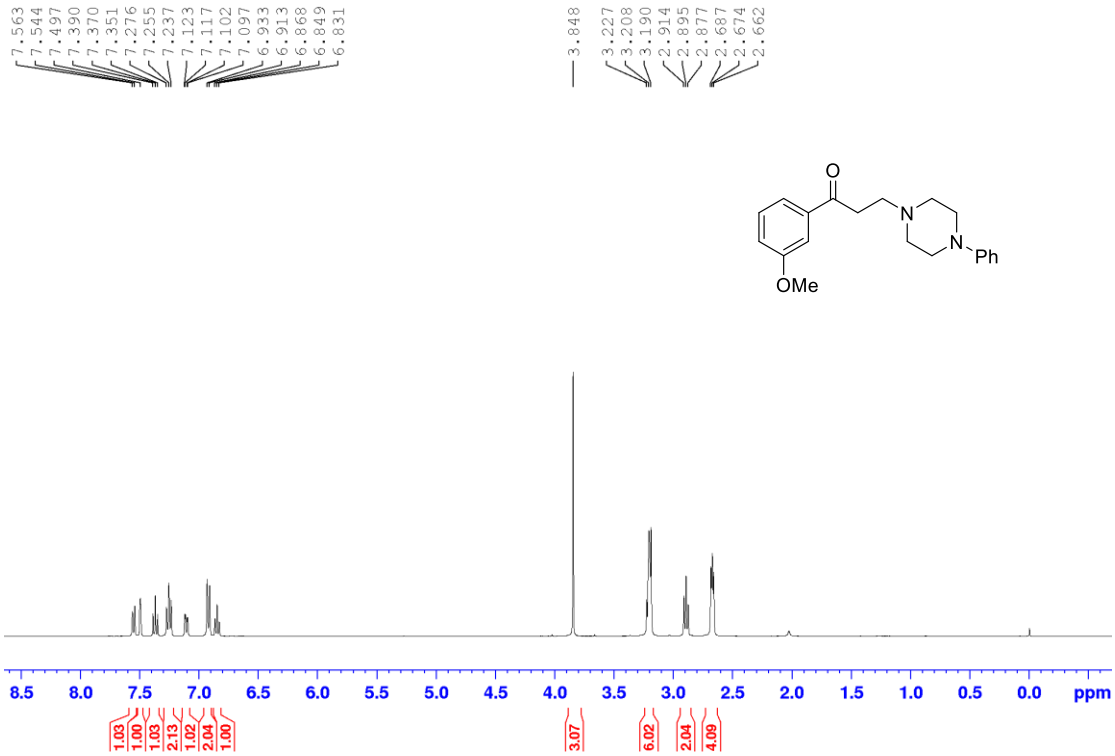

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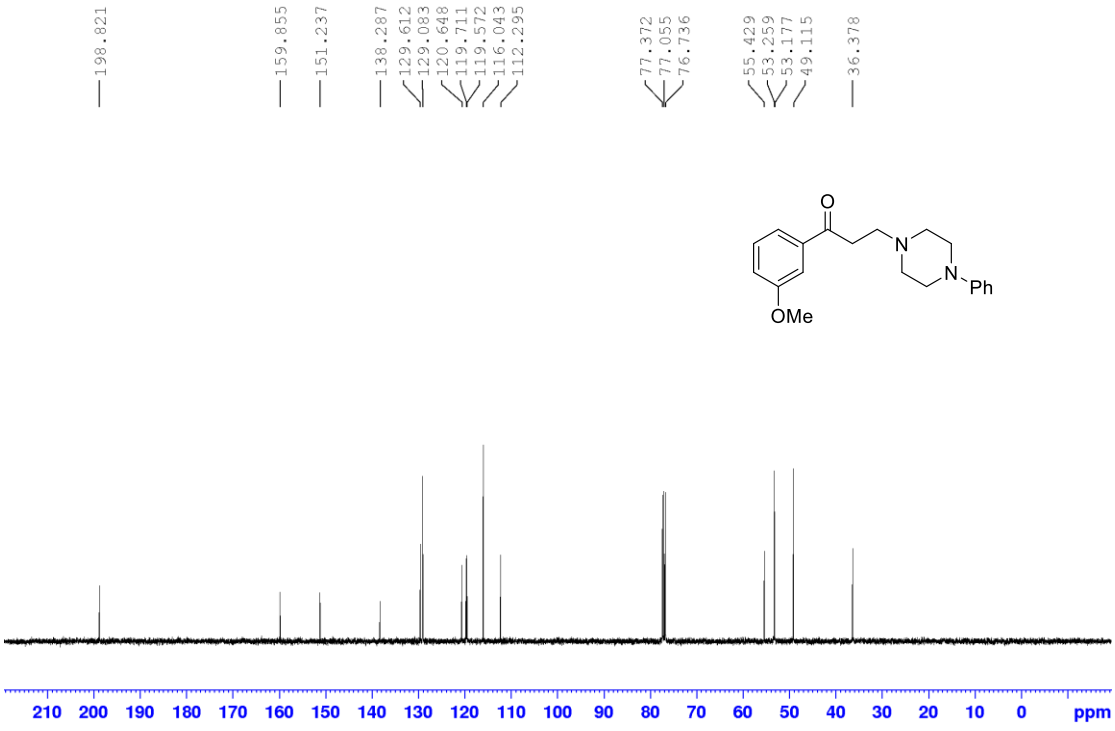

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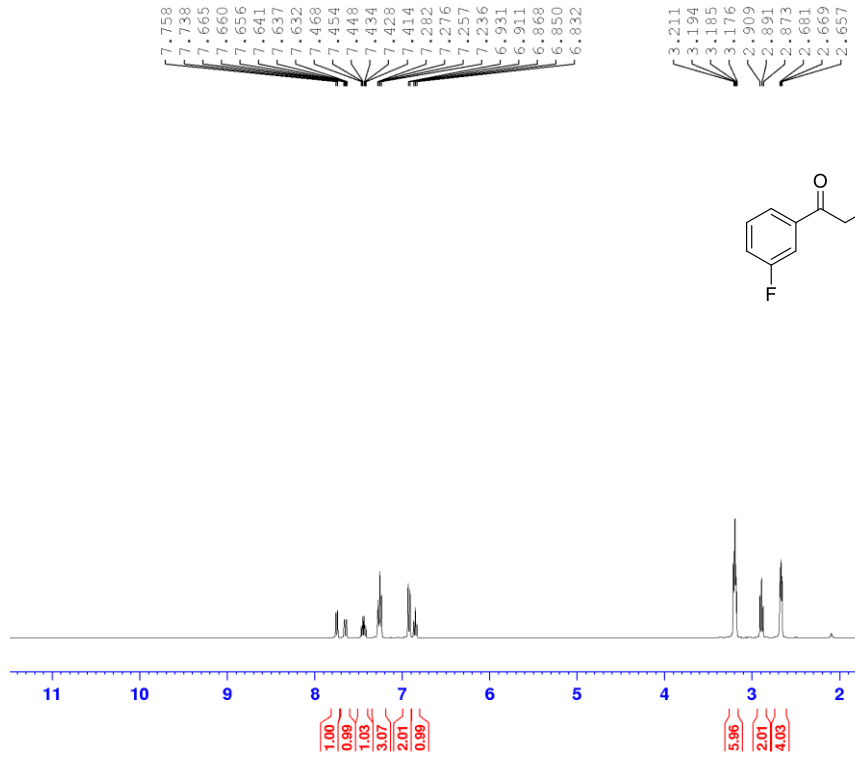

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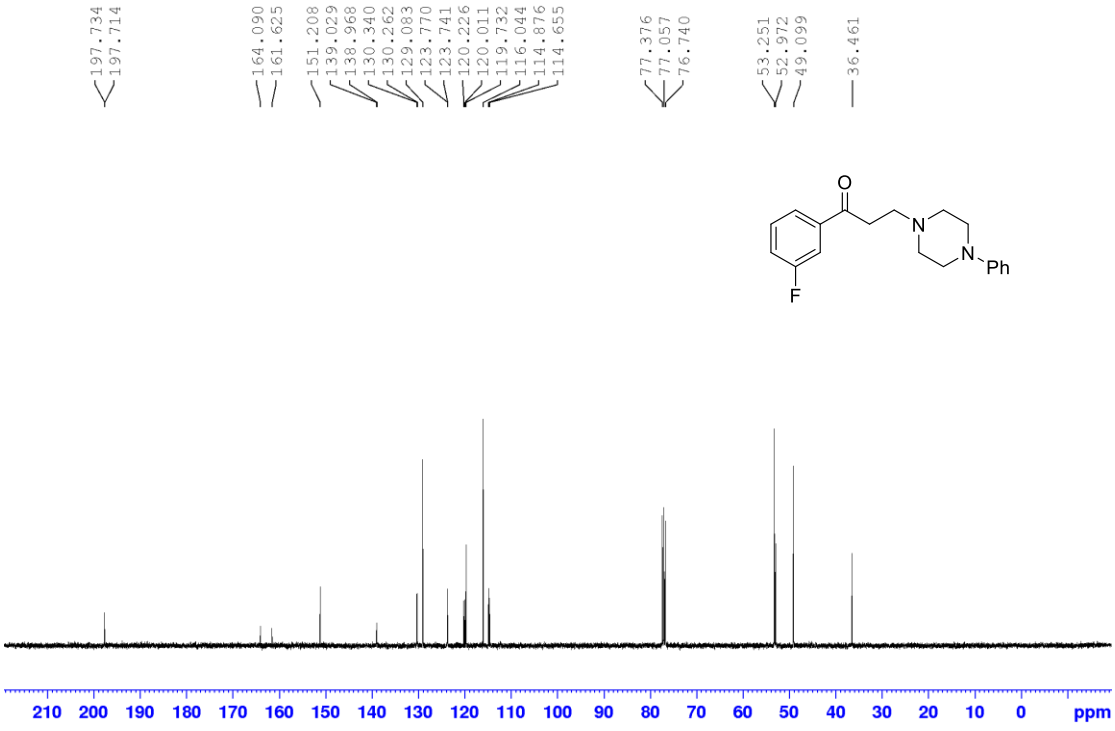
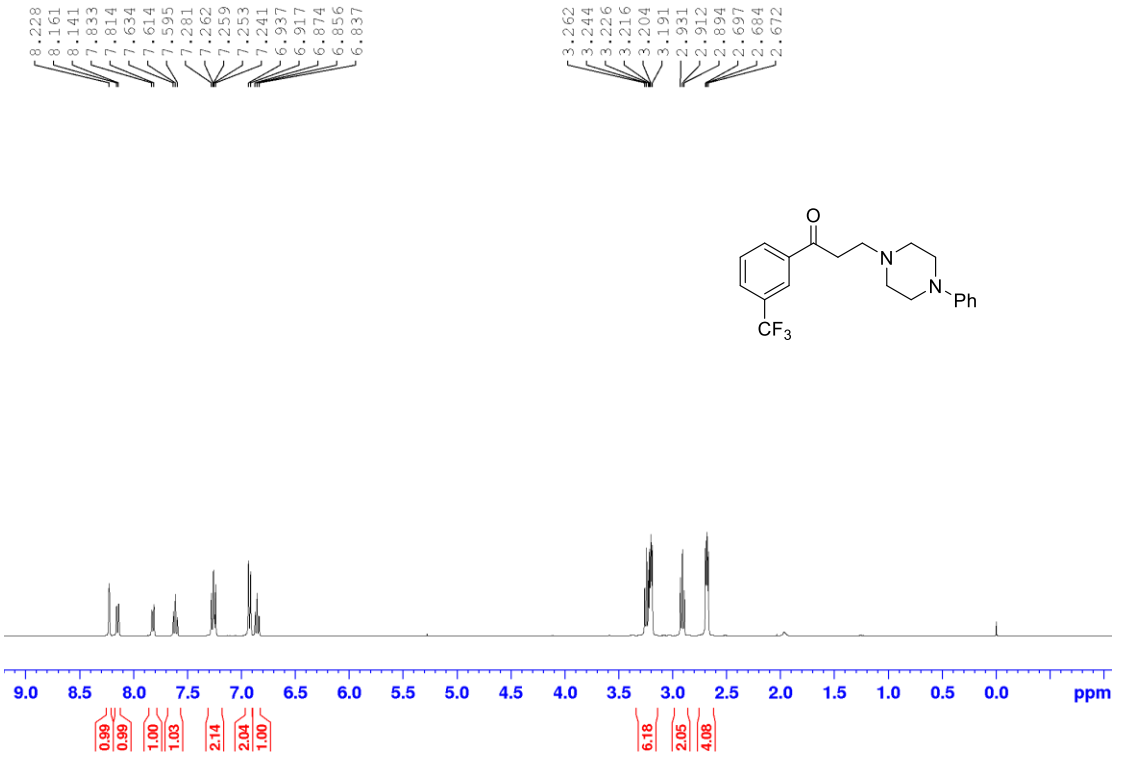


^{13}C NMR of compound **5f**



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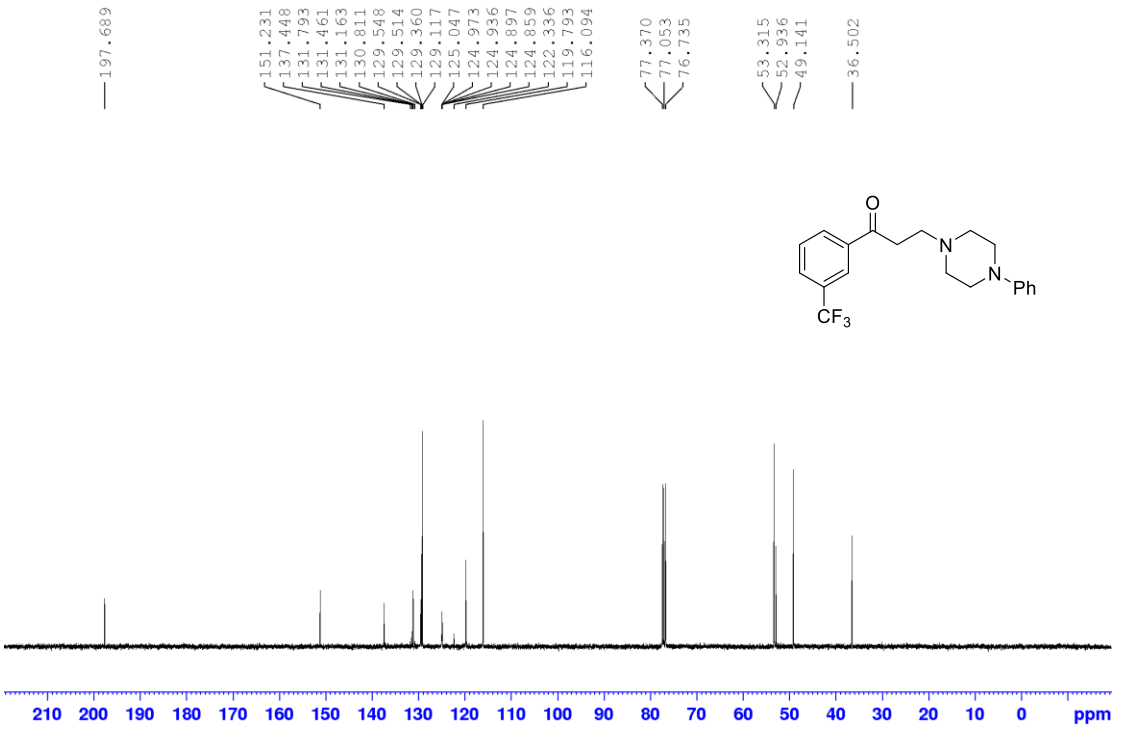

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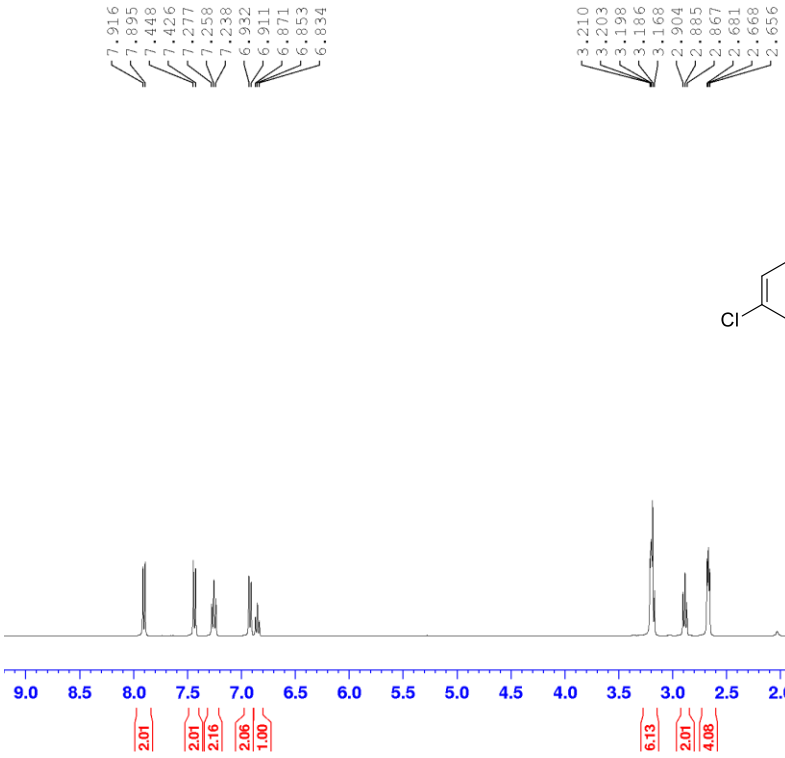

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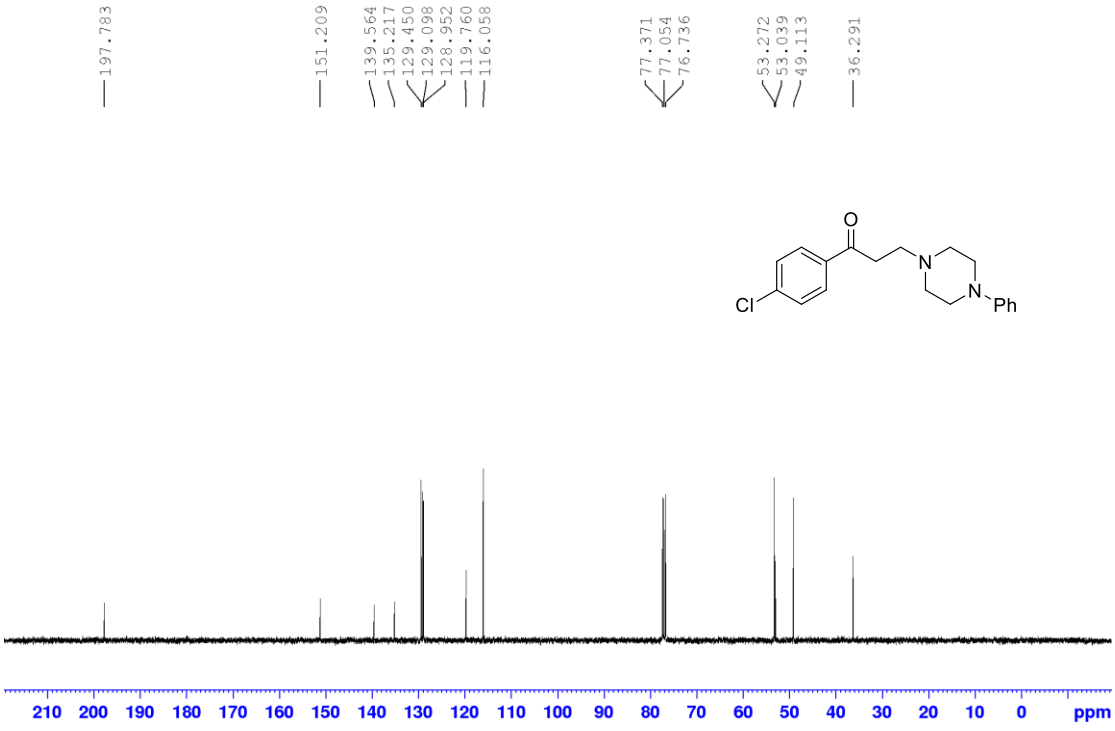

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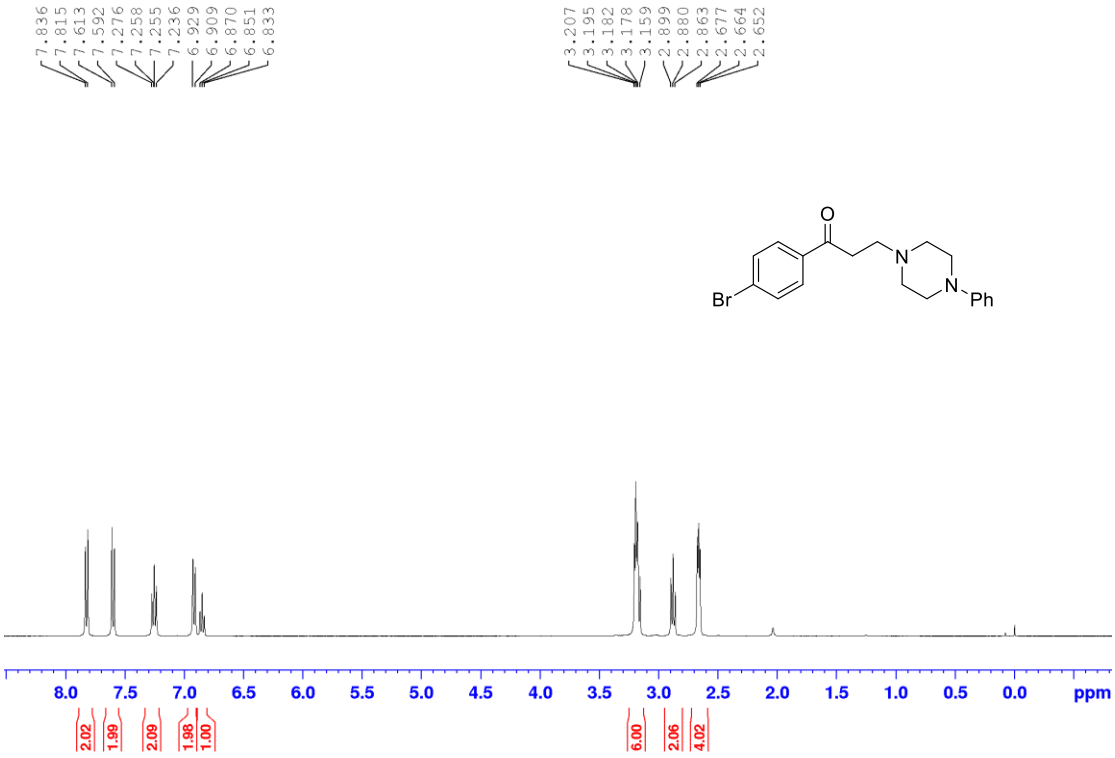

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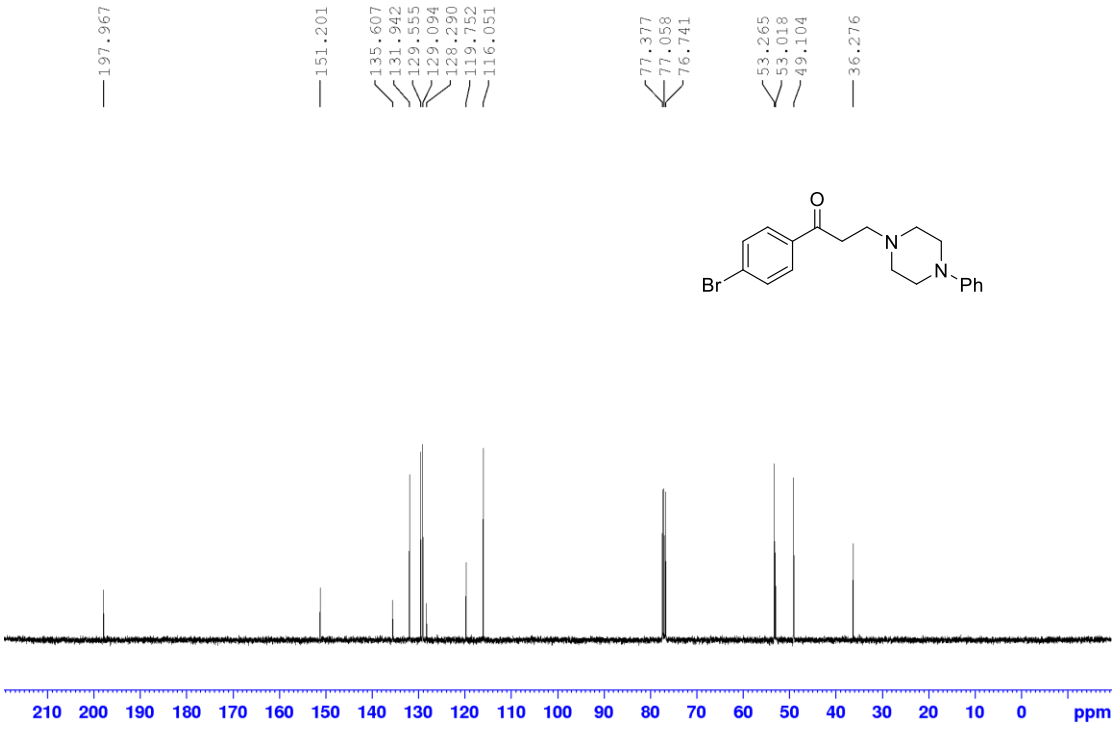

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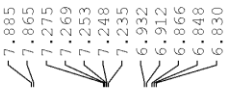
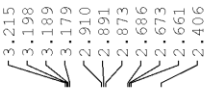
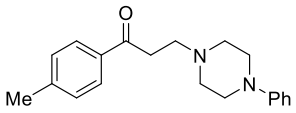
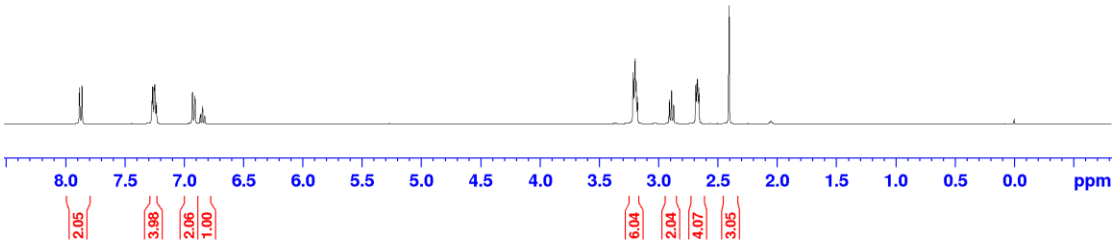
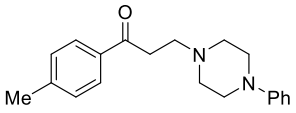


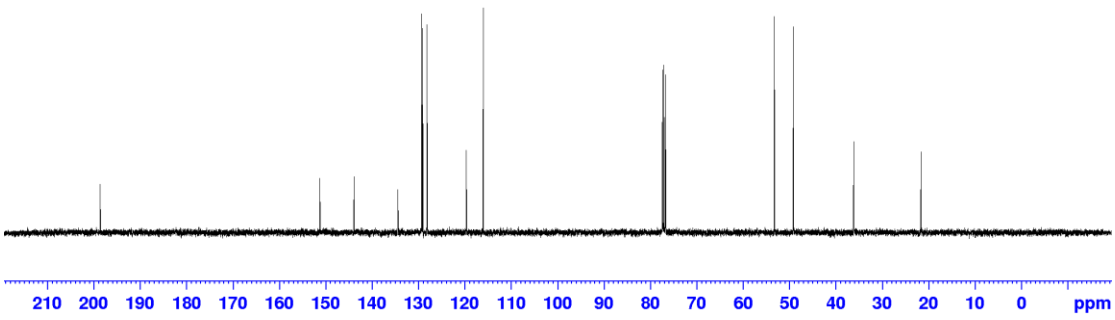






^{1}H NMR of compound **5j**





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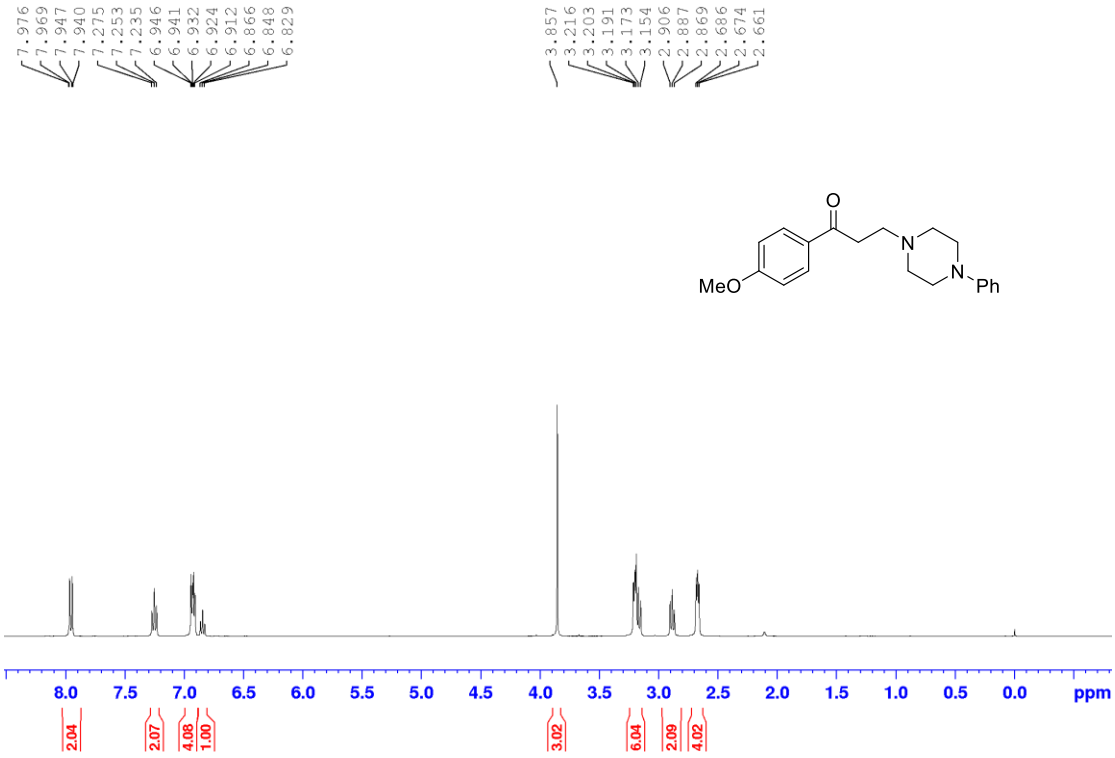

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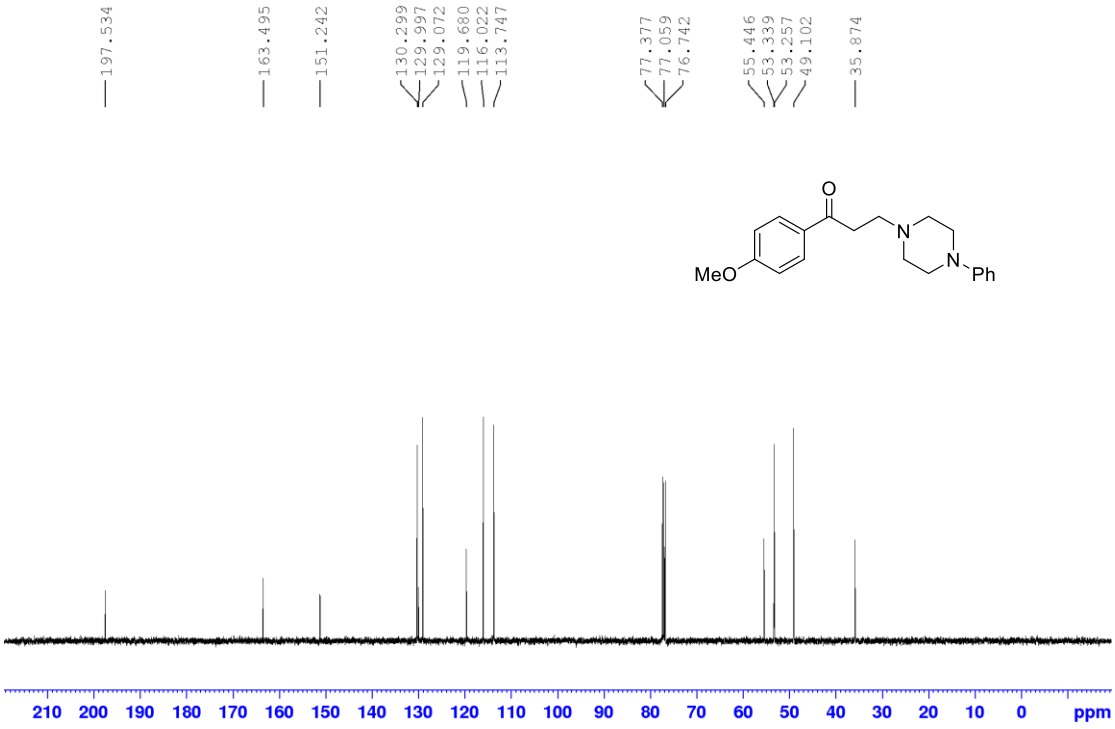

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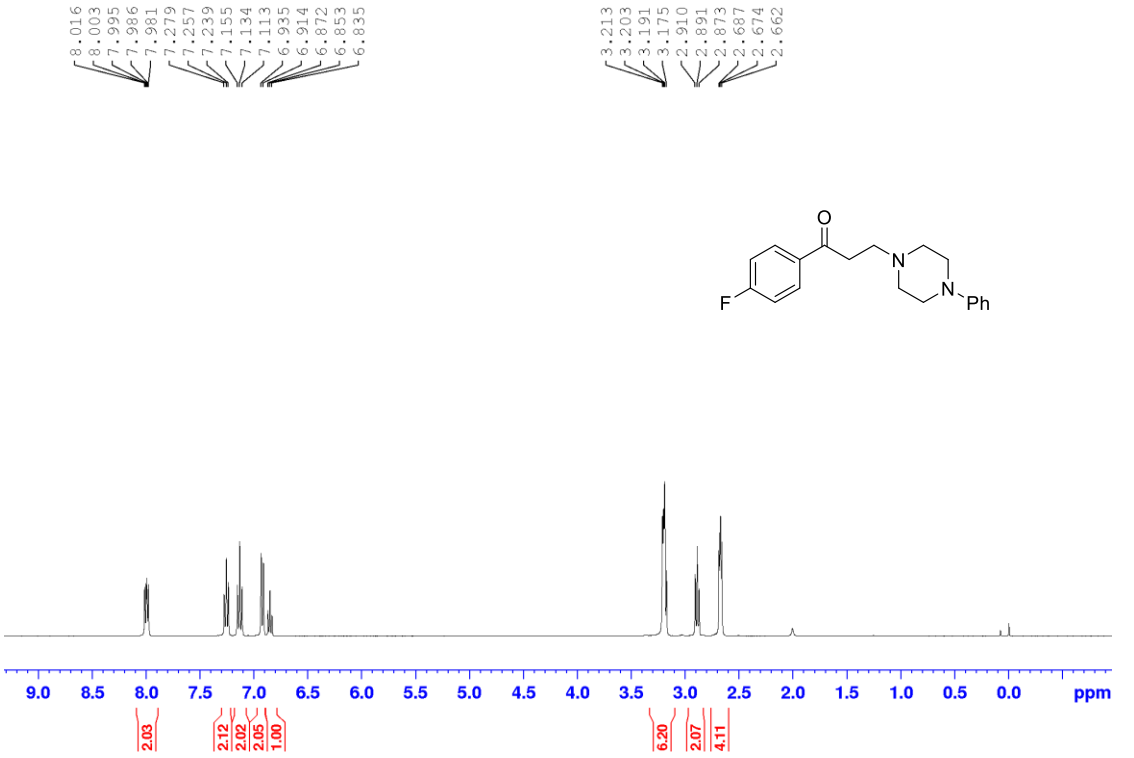

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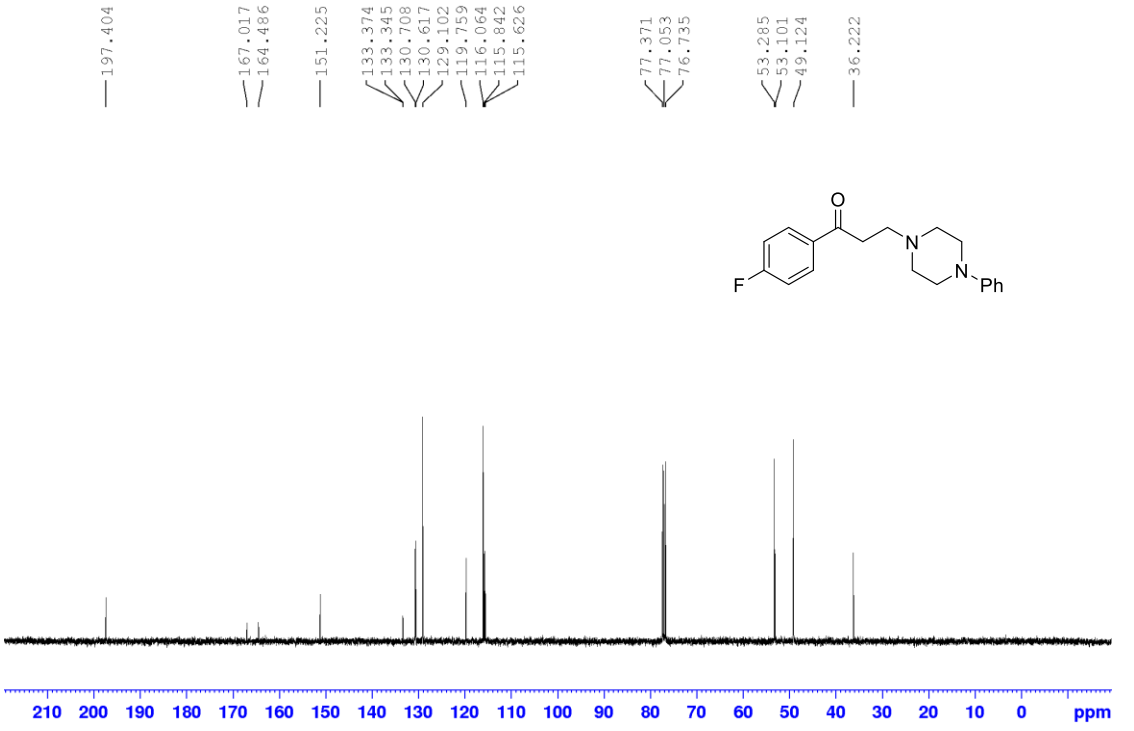

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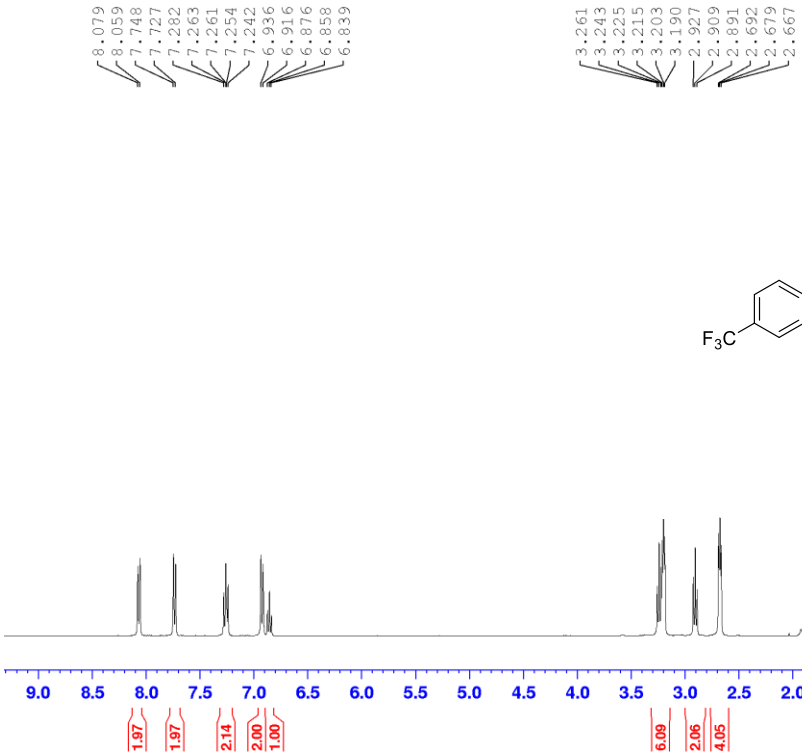

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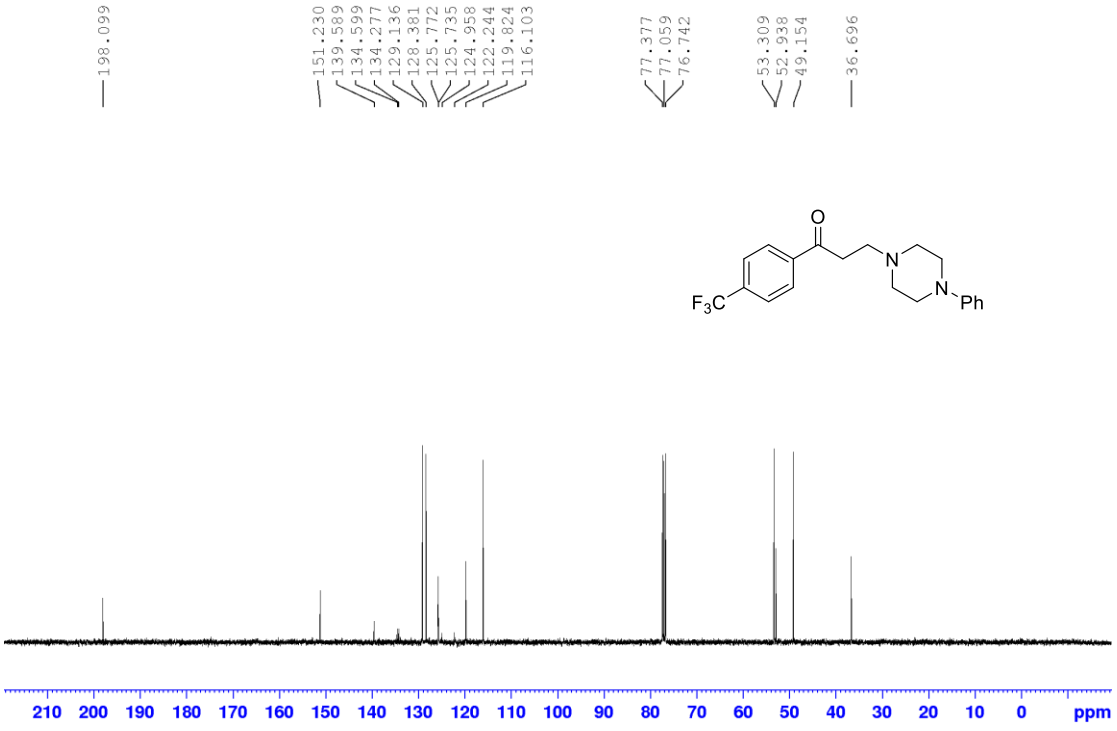

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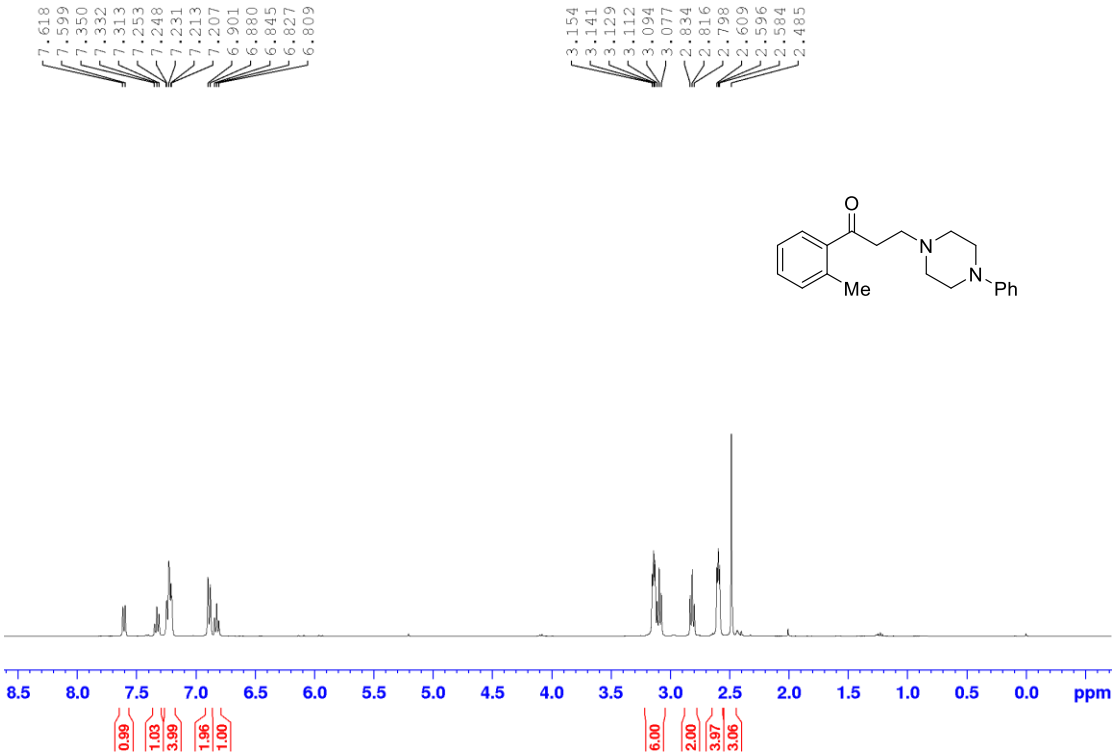

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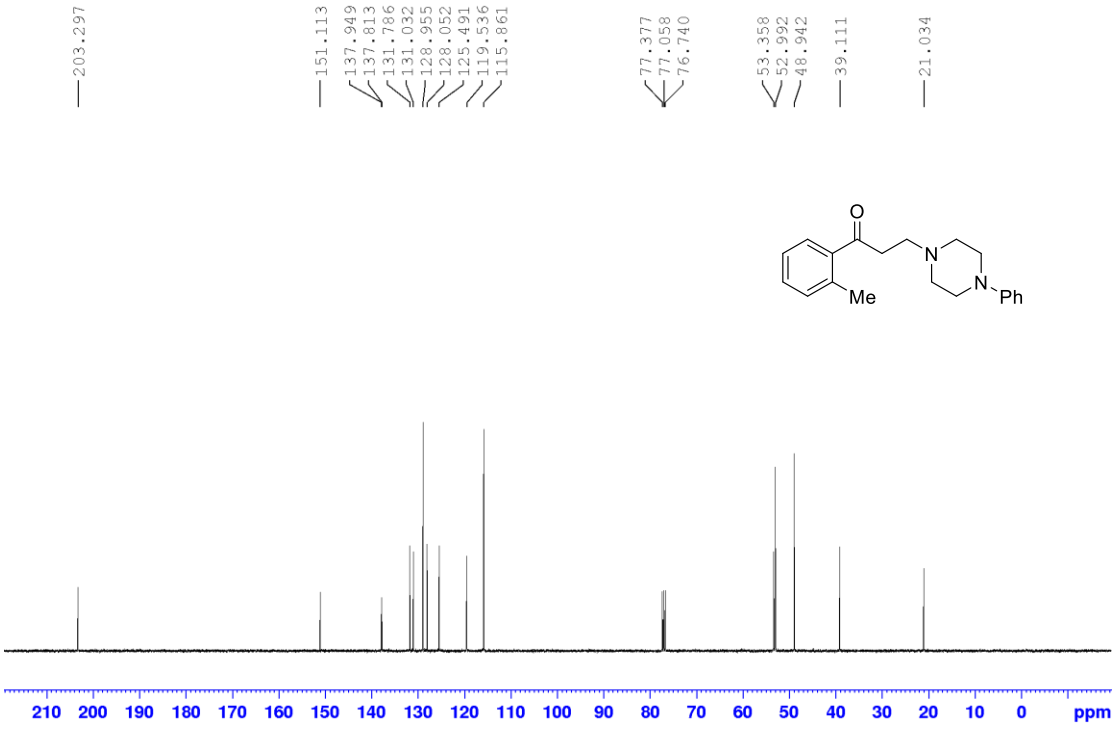

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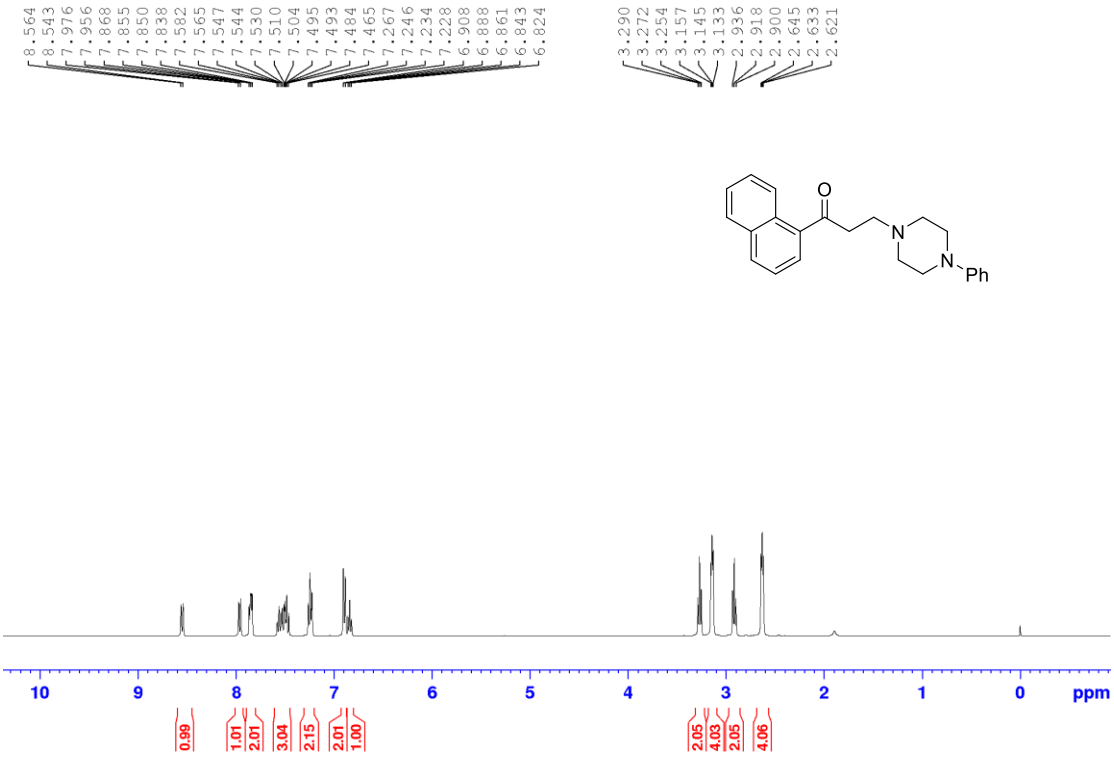
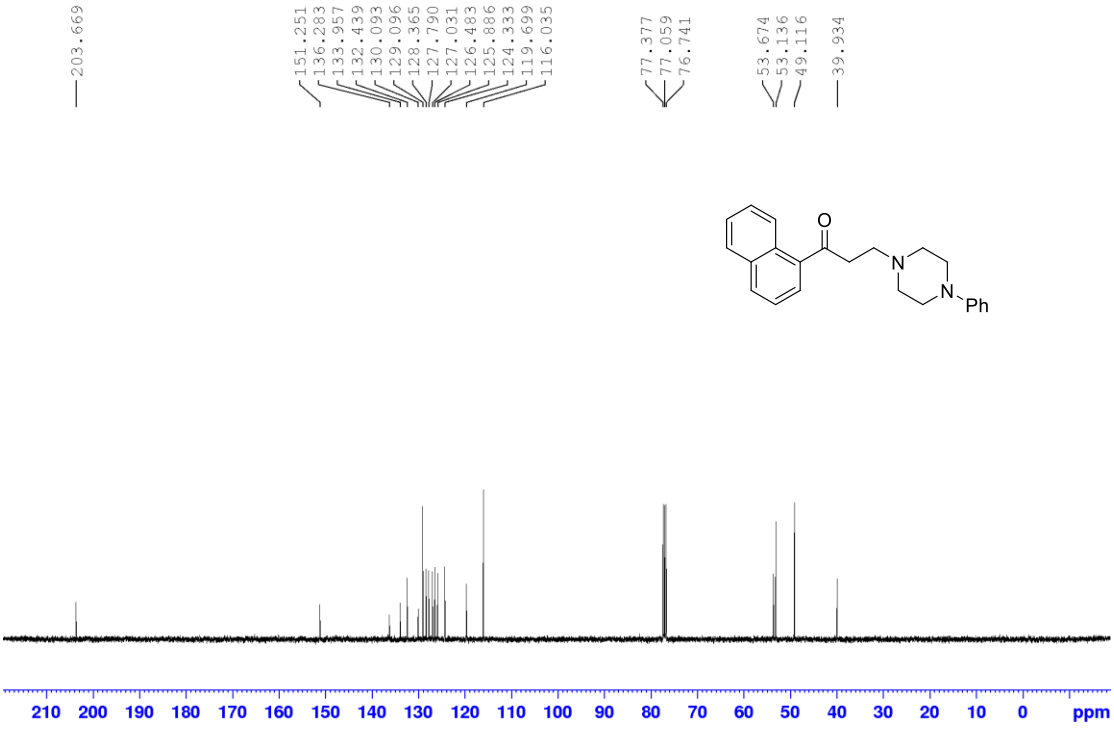
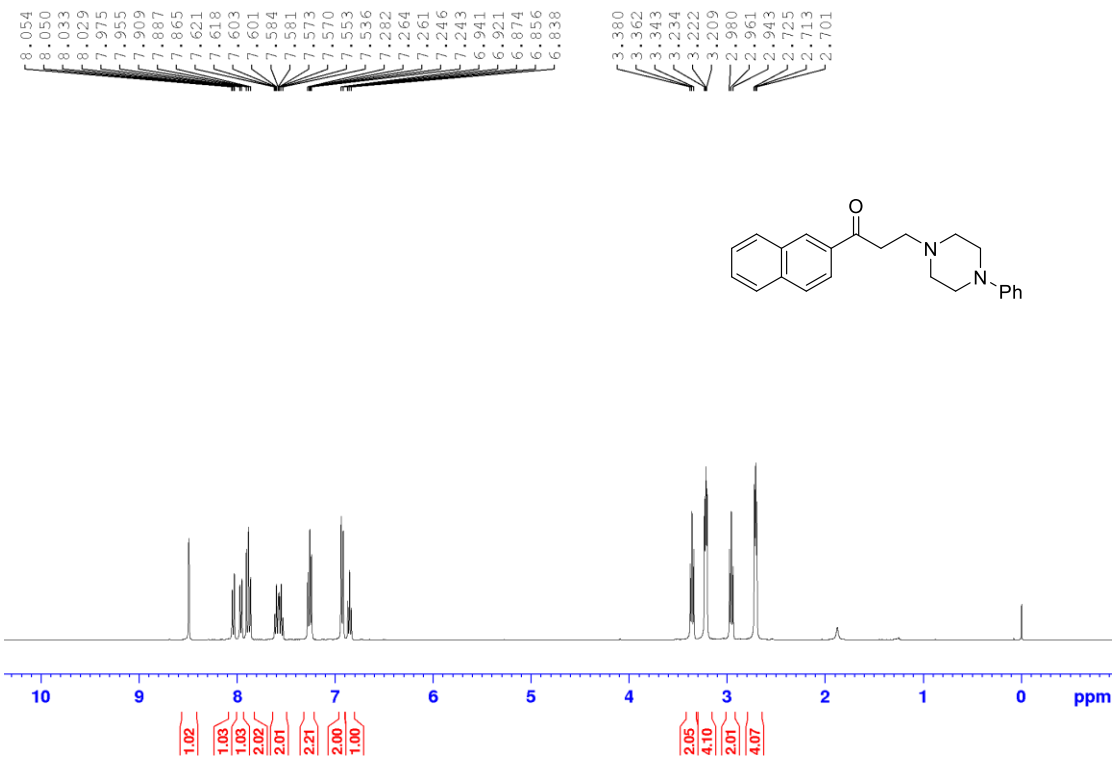


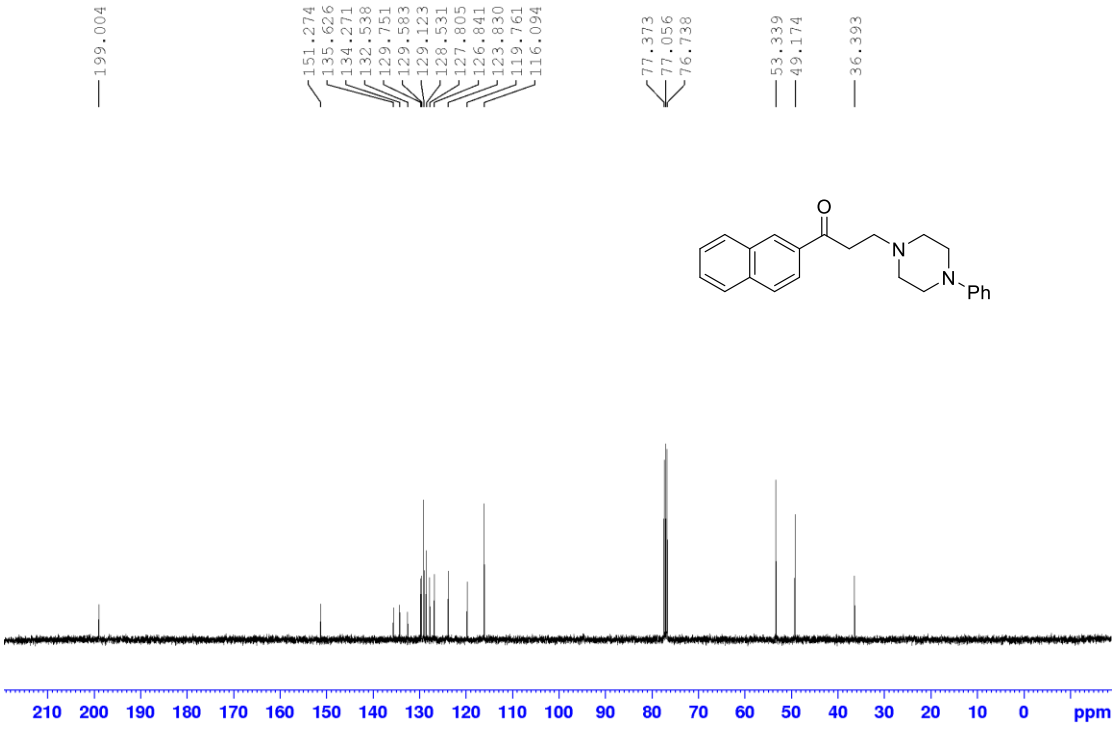
^{1}H NMR of compound **5o**



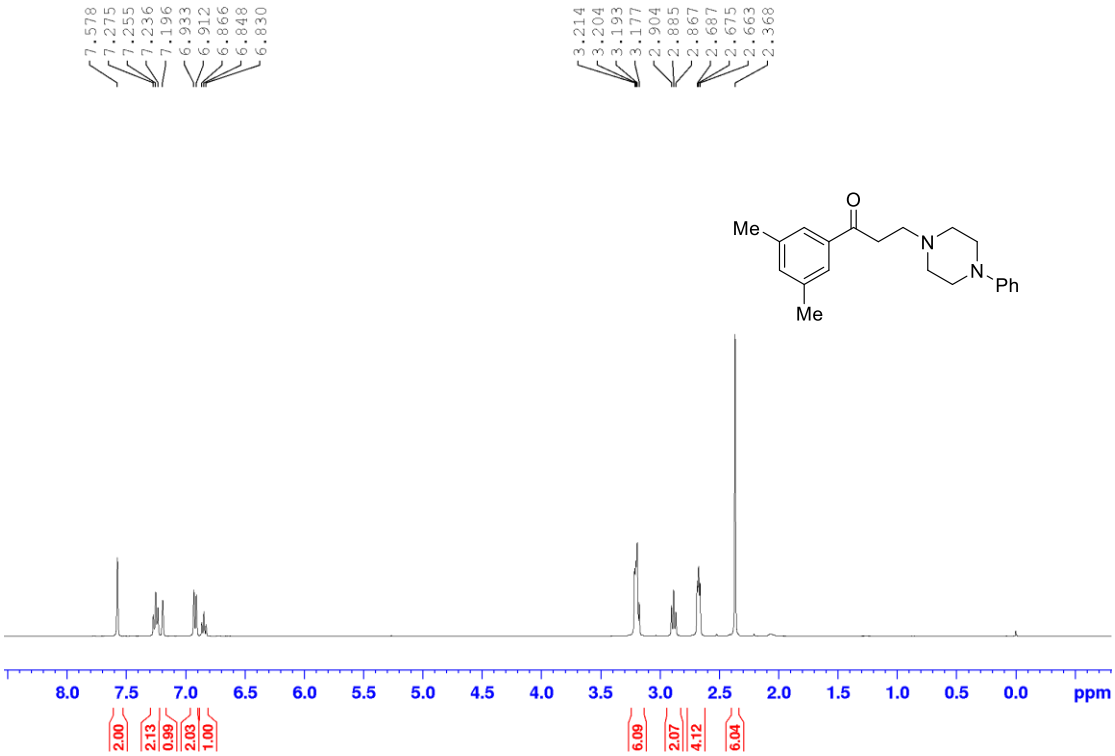
^{13}C NMR of compound **5o**



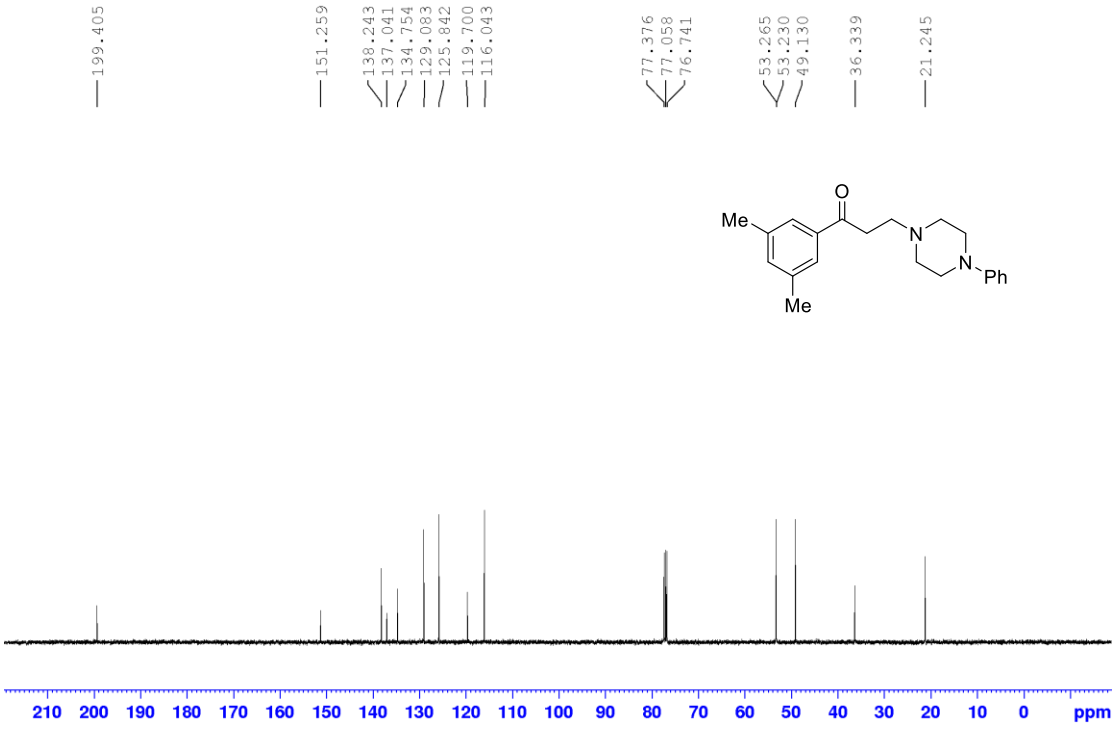
^{1}H NMR of compound **5p**



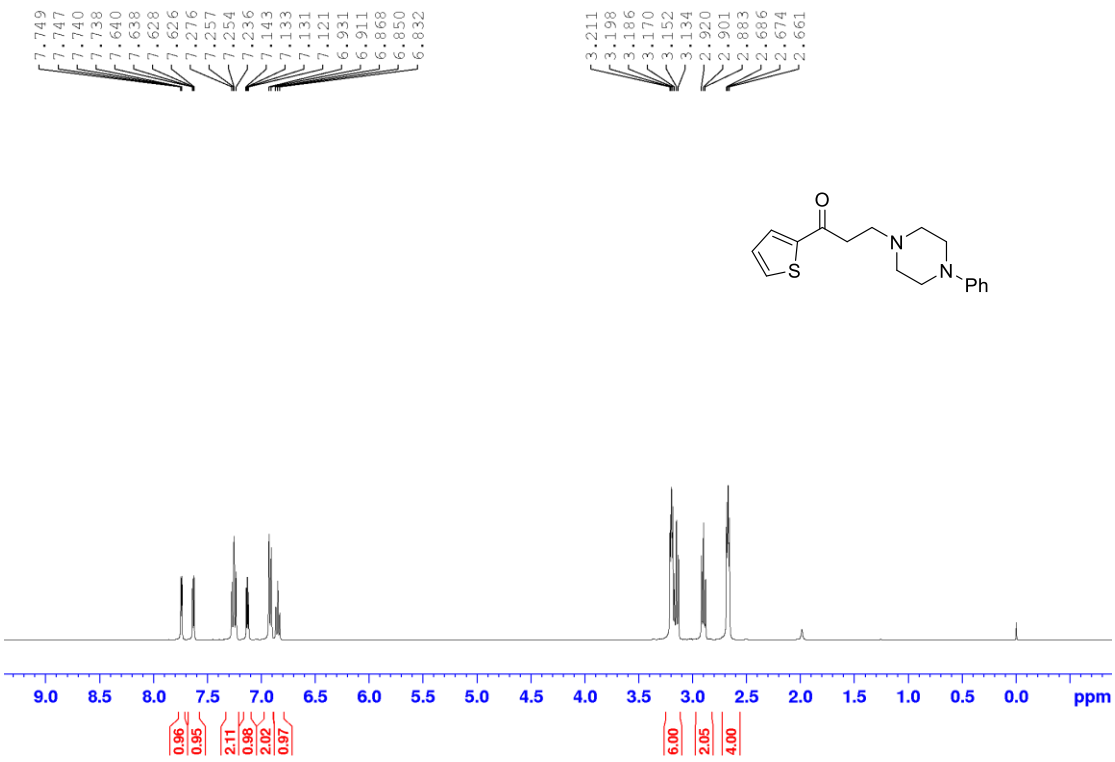
^{13}C NMR of compound **5p**



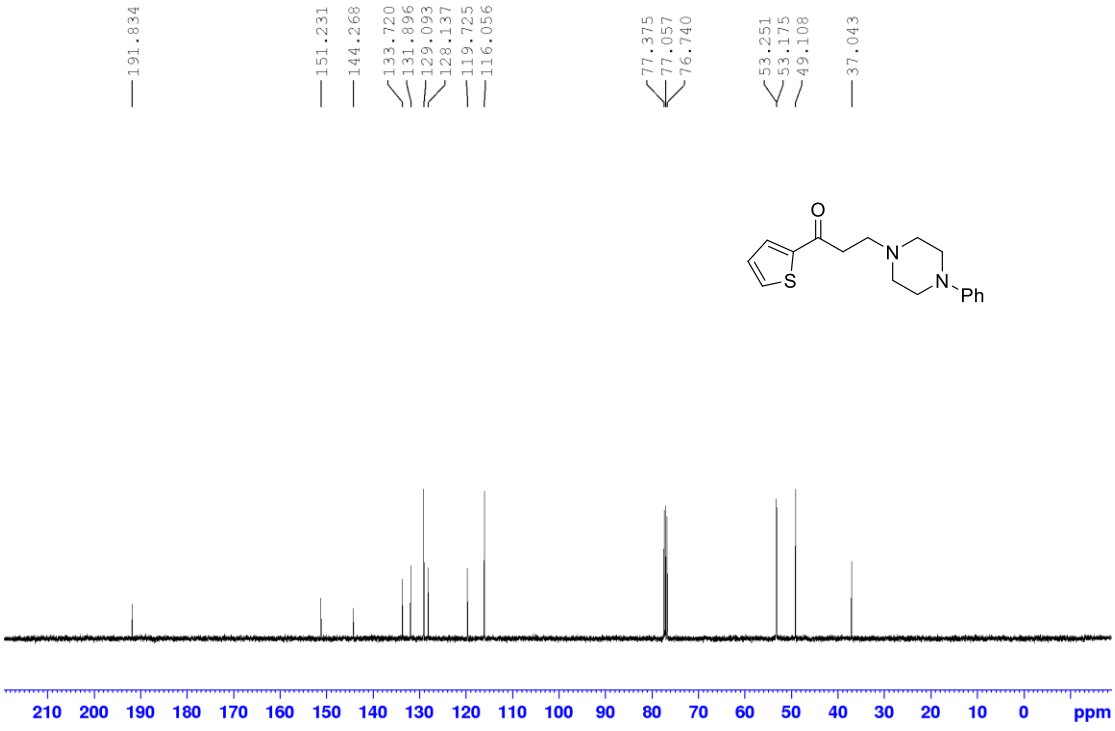
^{1}H NMR of compound **5q**



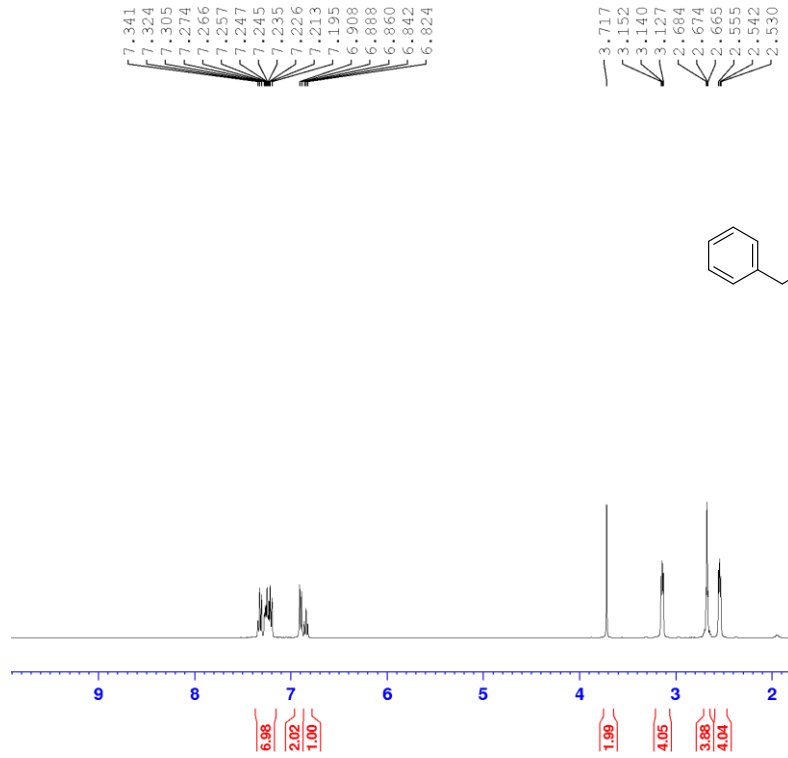
^{13}C NMR of compound **5q**



^{1}H NMR of compound **5r**



^{13}C NMR of compound **5r**



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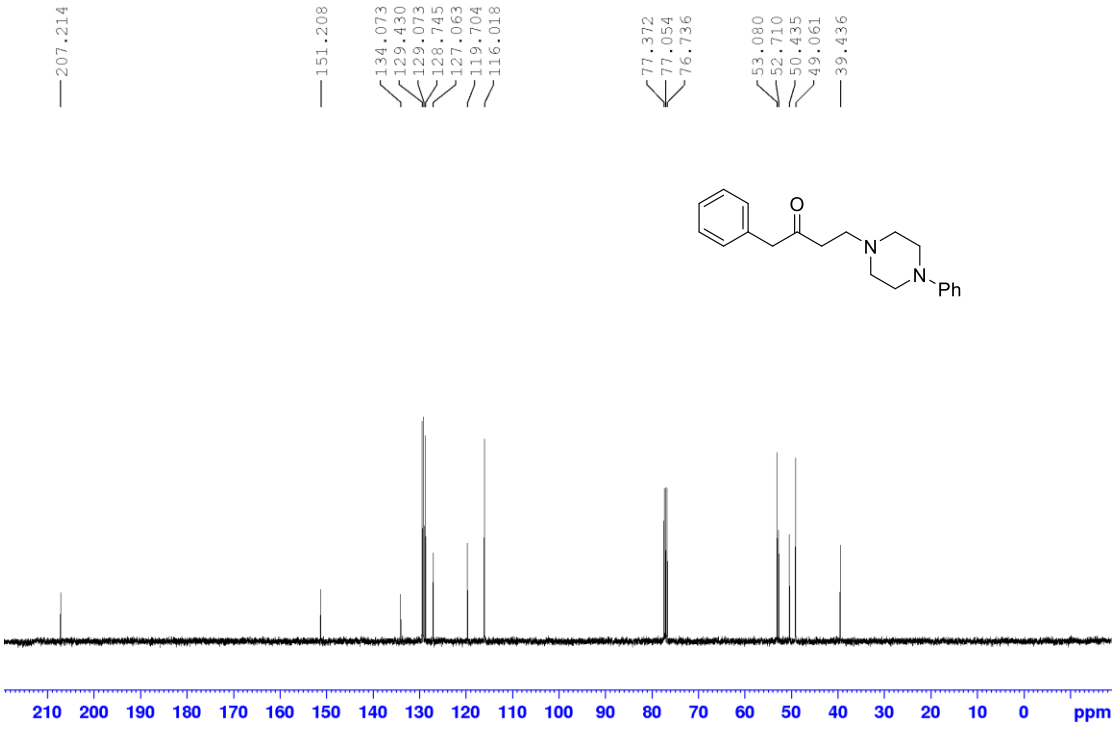
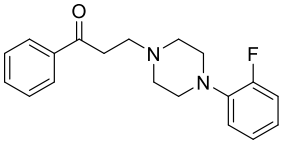
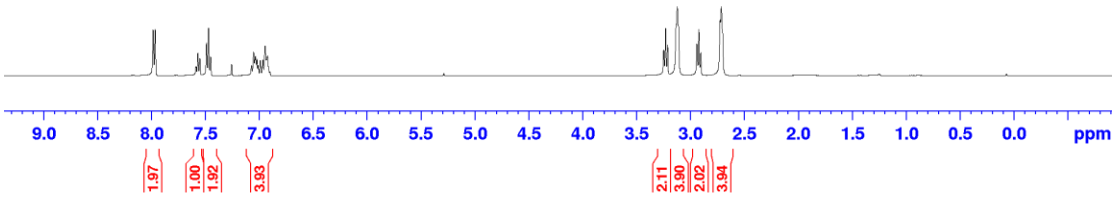
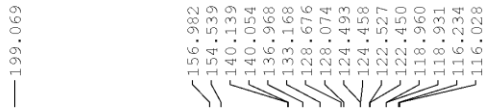


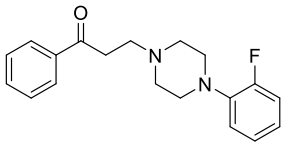
^{13}C NMR of compound **5s**

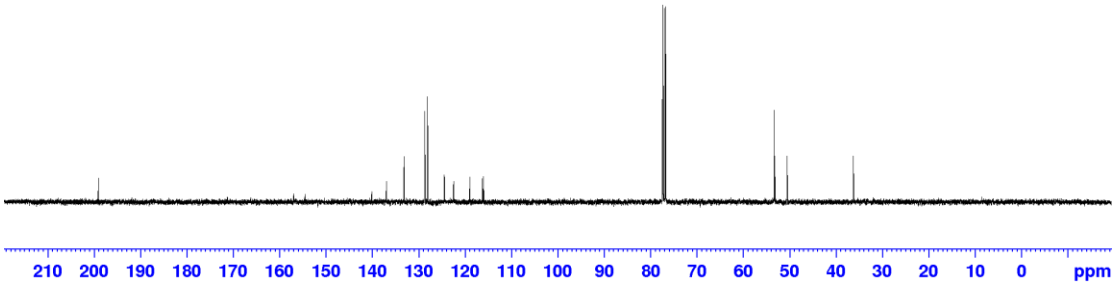




^{1}H NMR of compound **5t**







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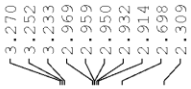
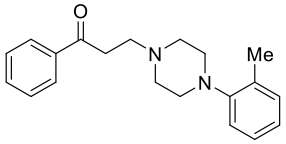
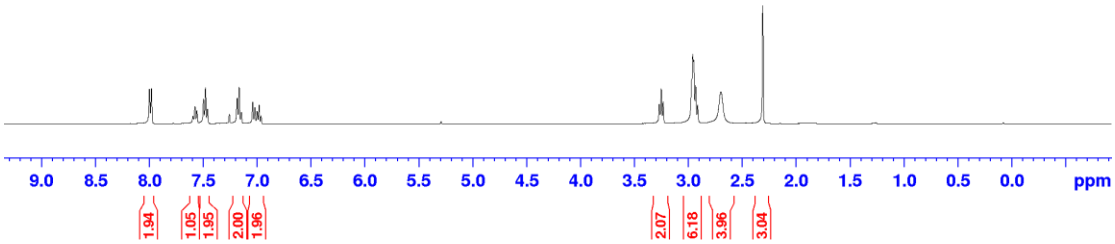
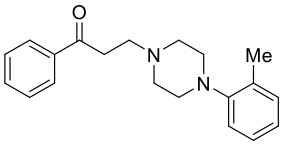
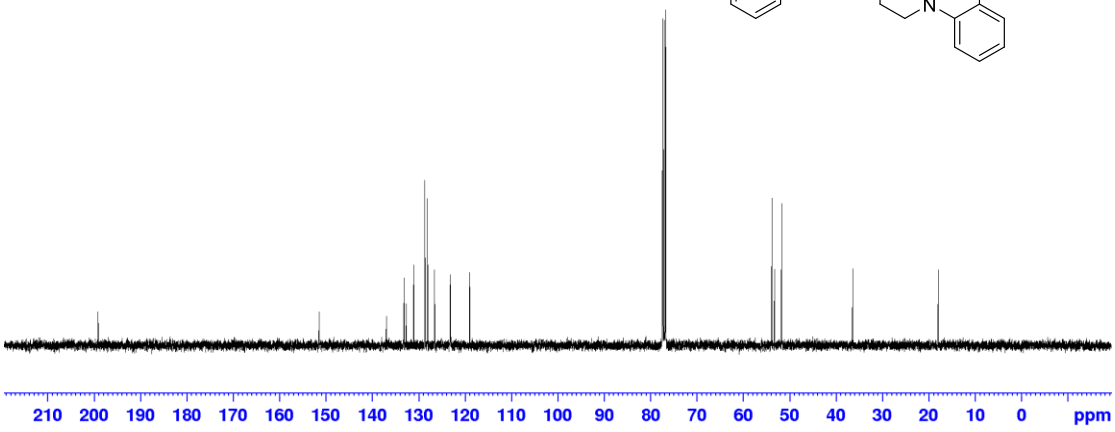




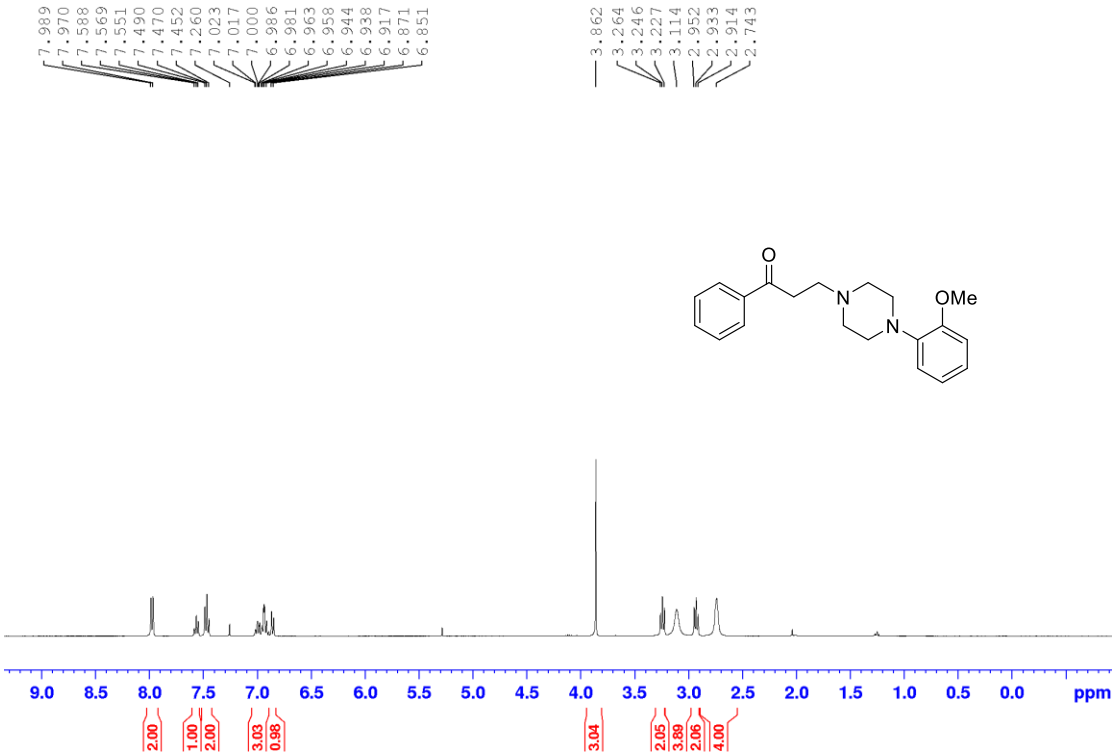


^{1}H NMR of compound **5u**





^{13}C NMR of compound **5u**



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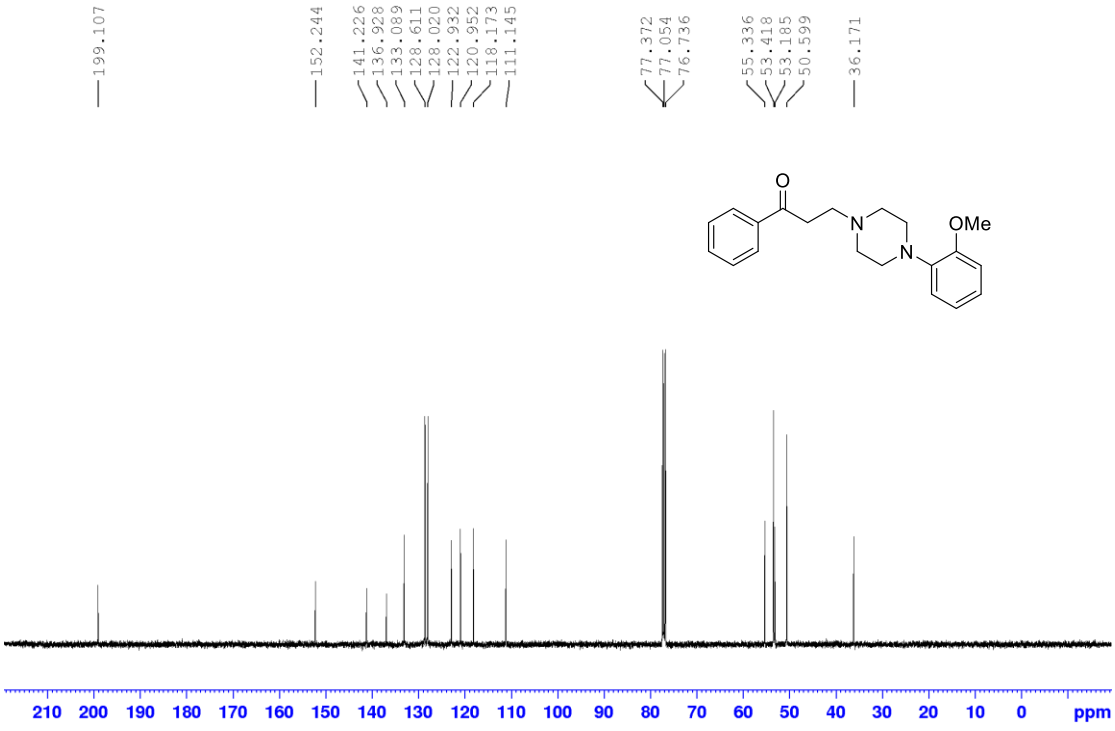
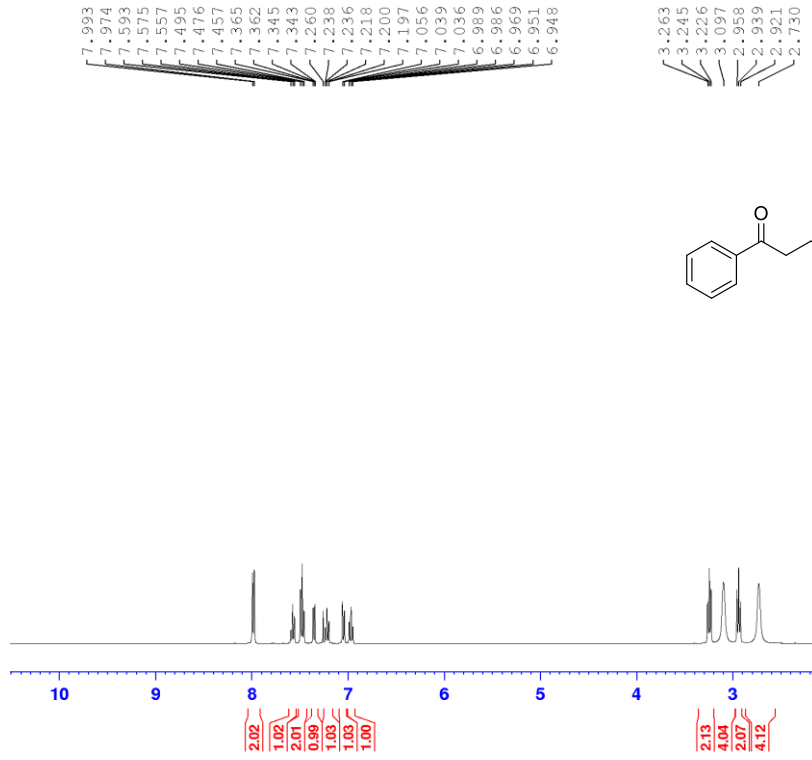


^{13}C NMR of compound **5v**



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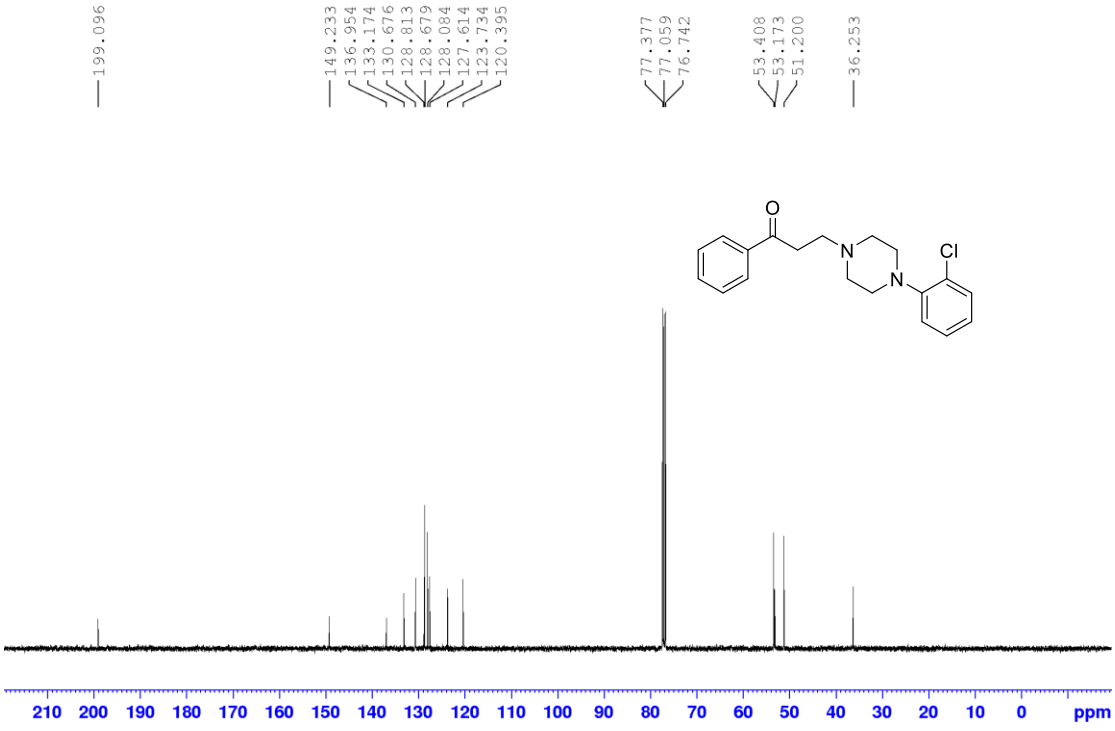
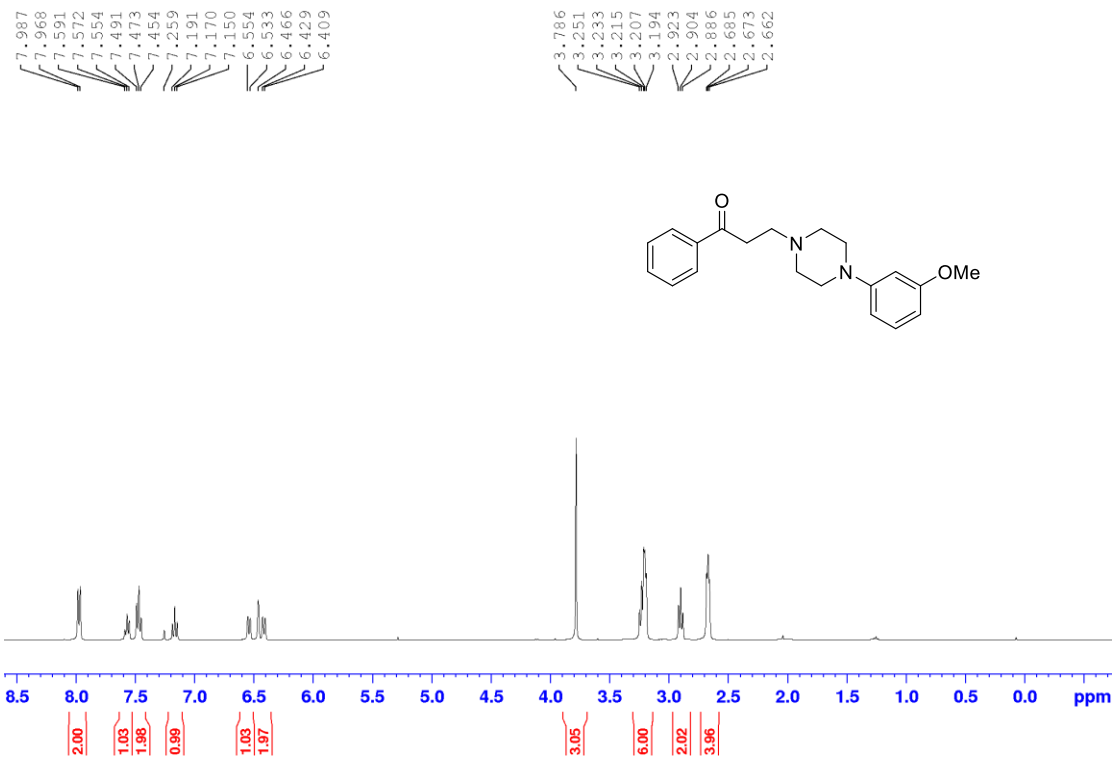
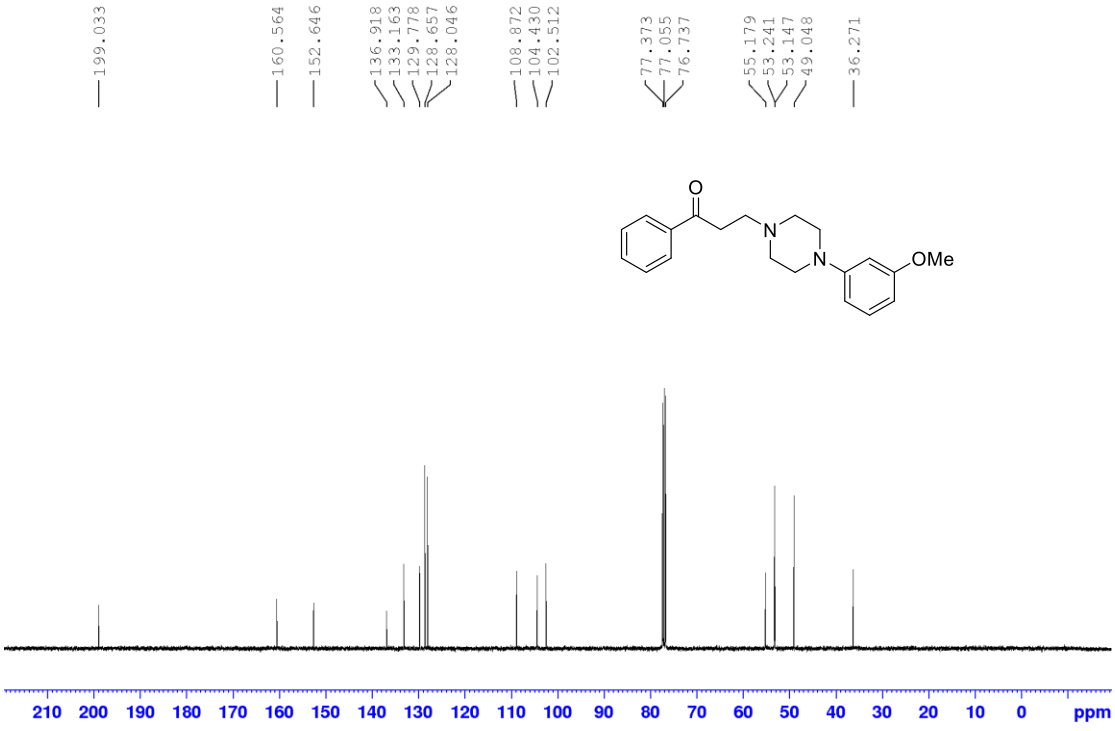


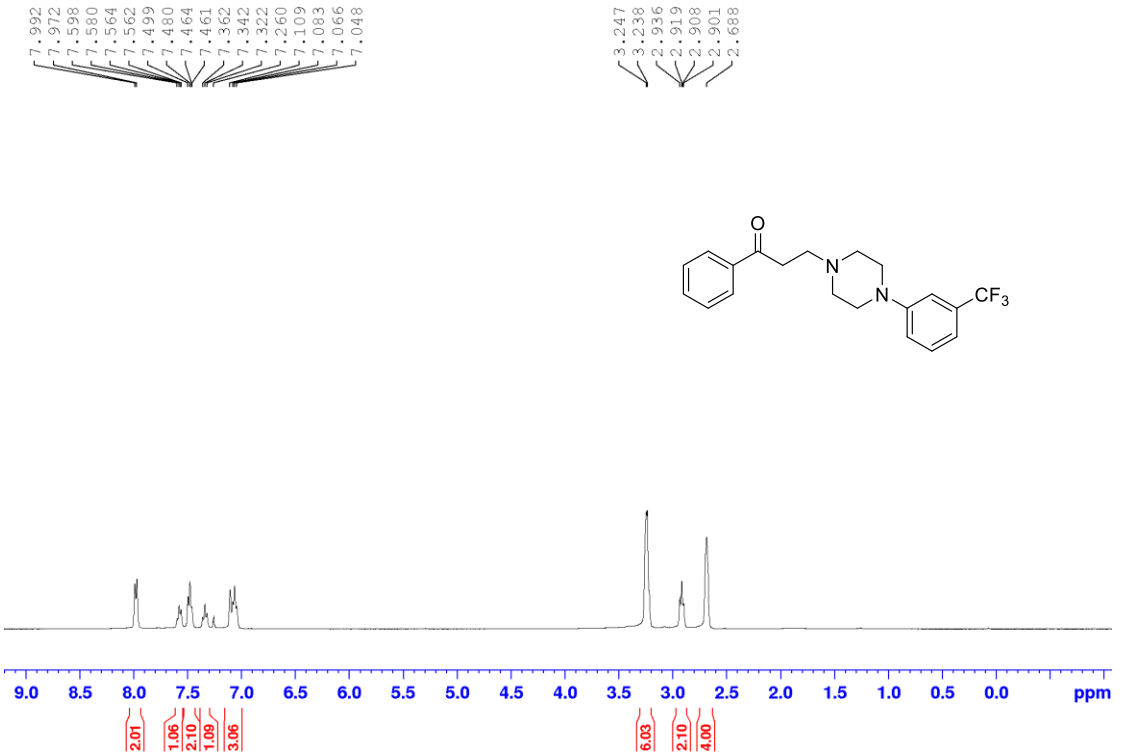
^{13}C NMR of compound **5w**



^{1}H NMR of compound **5x**



^{13}C NMR of compound **5x**



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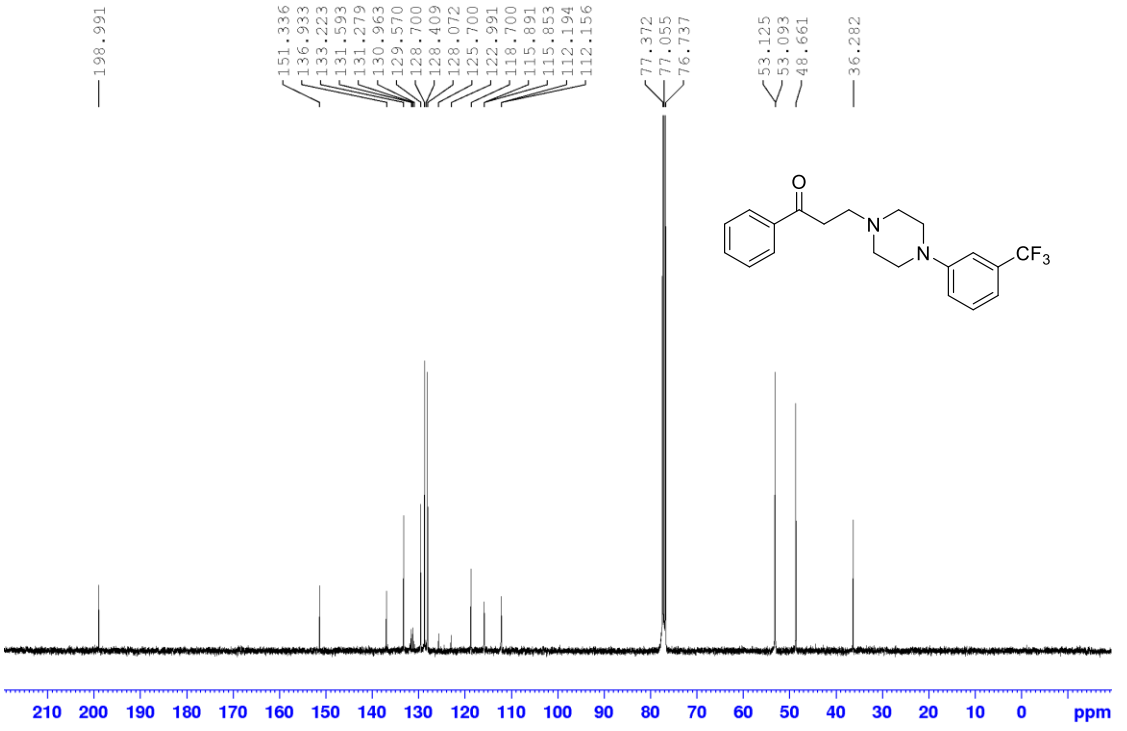
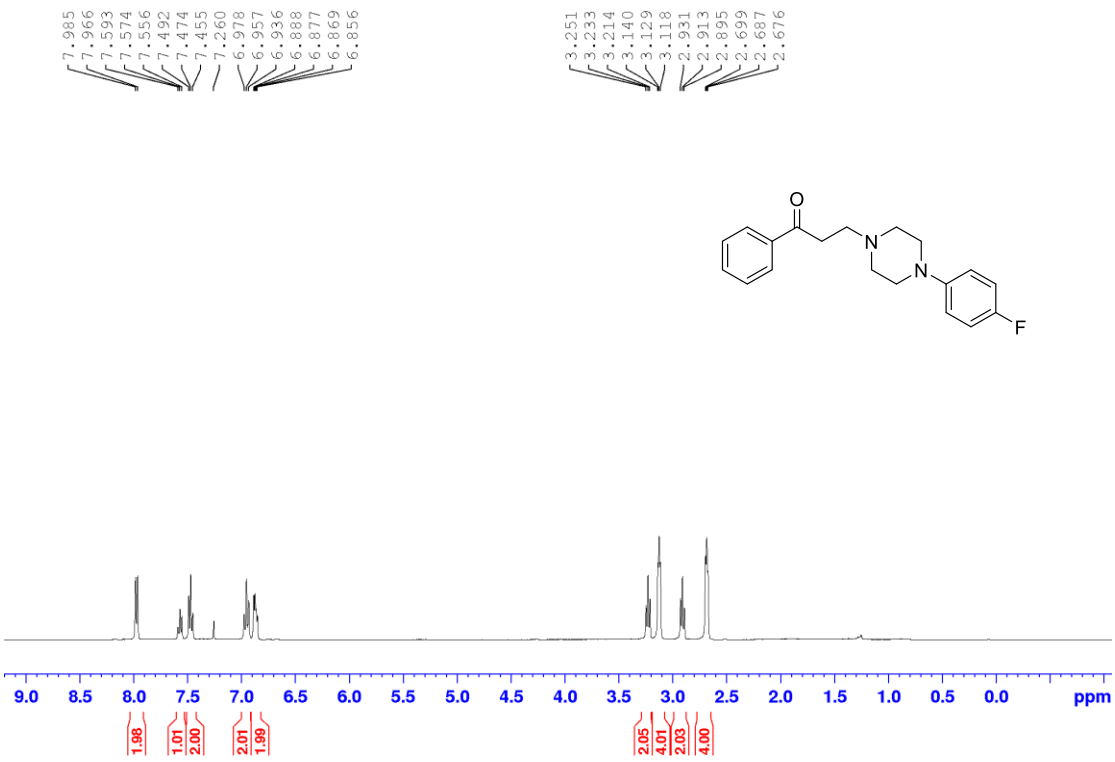


^{13}C NMR of compound **5y**



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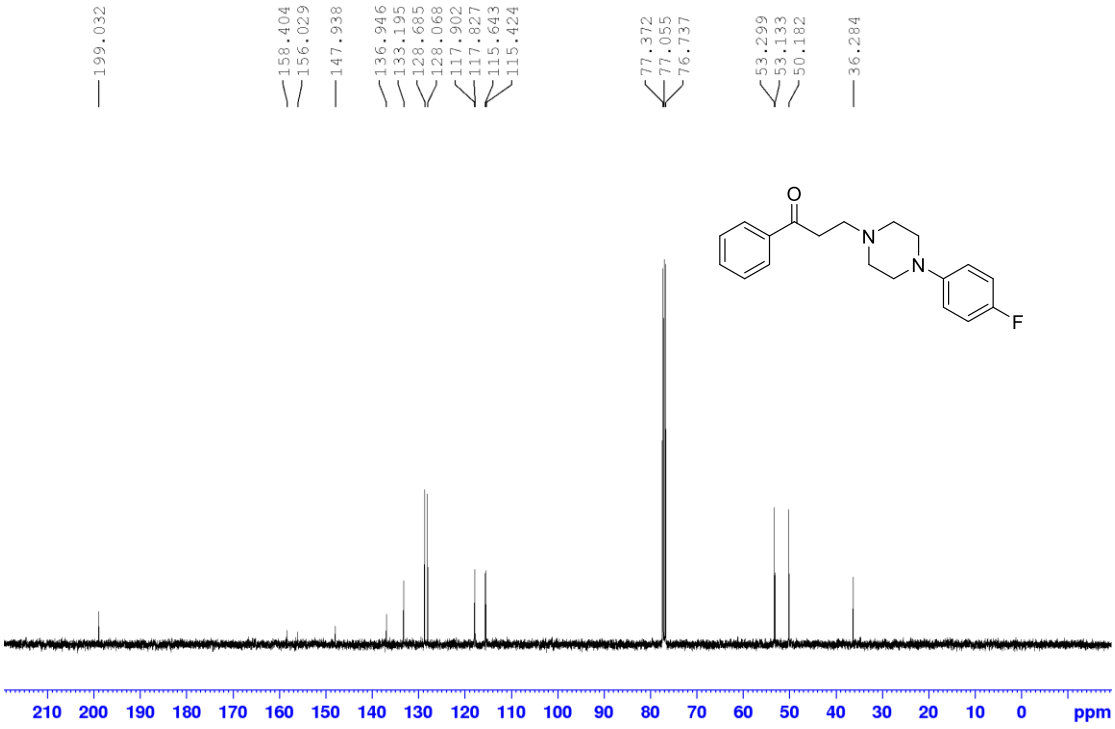

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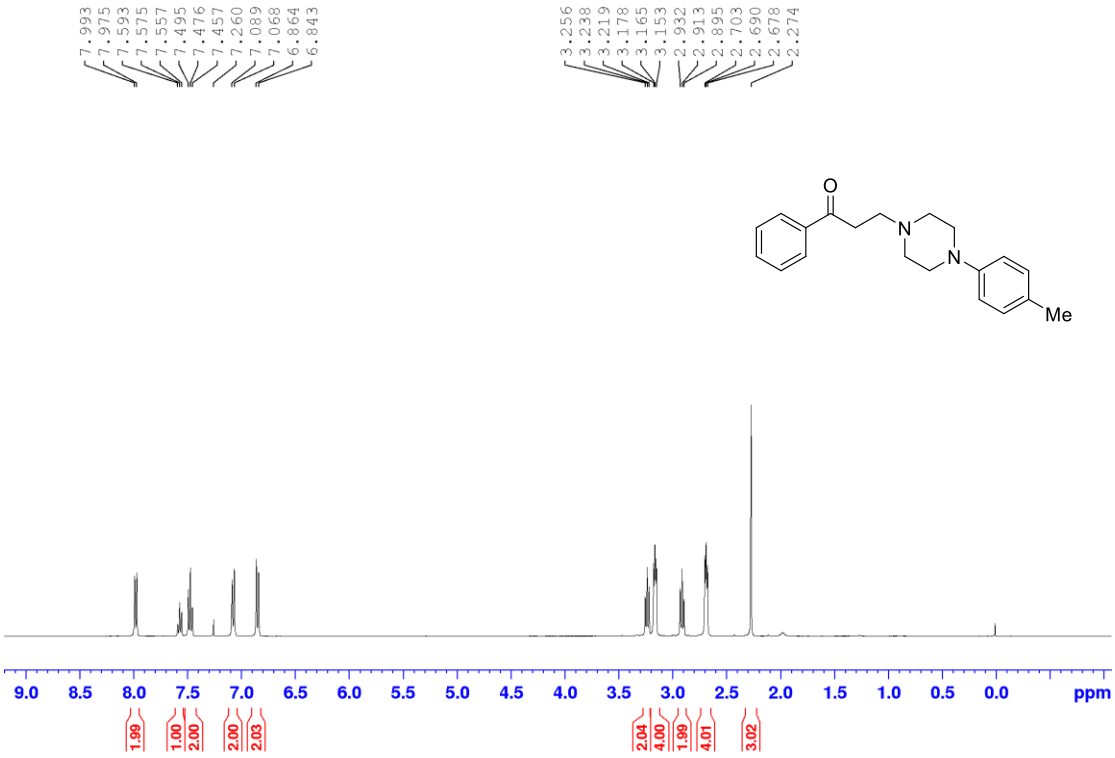

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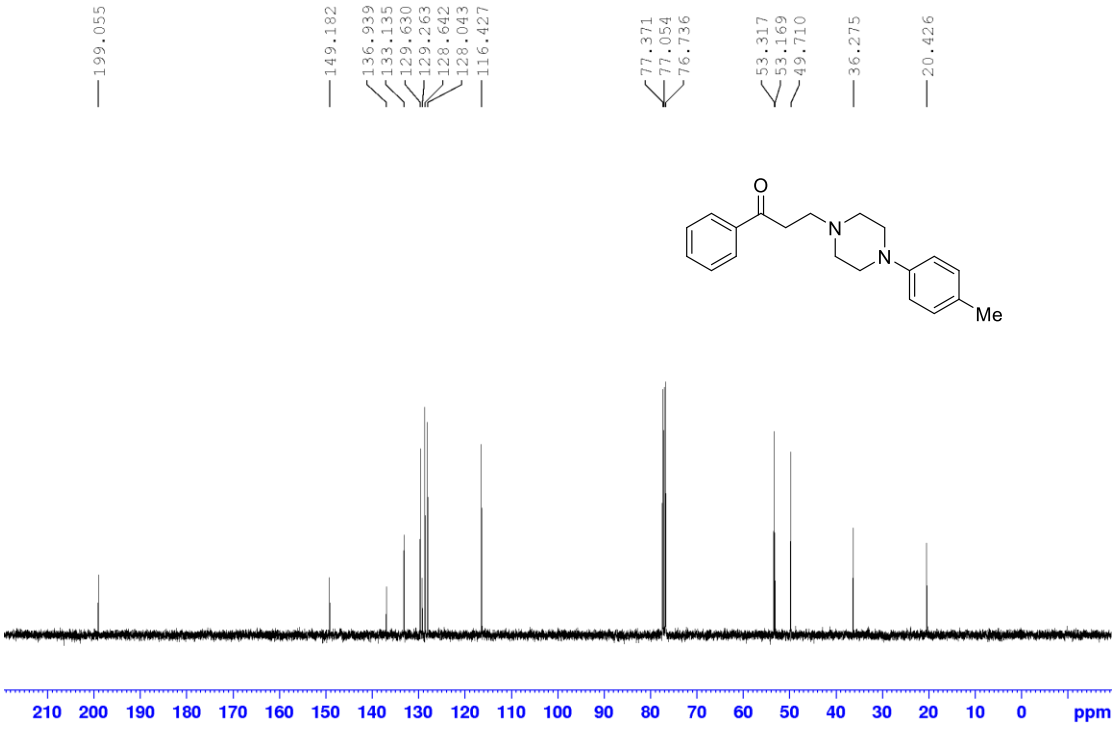
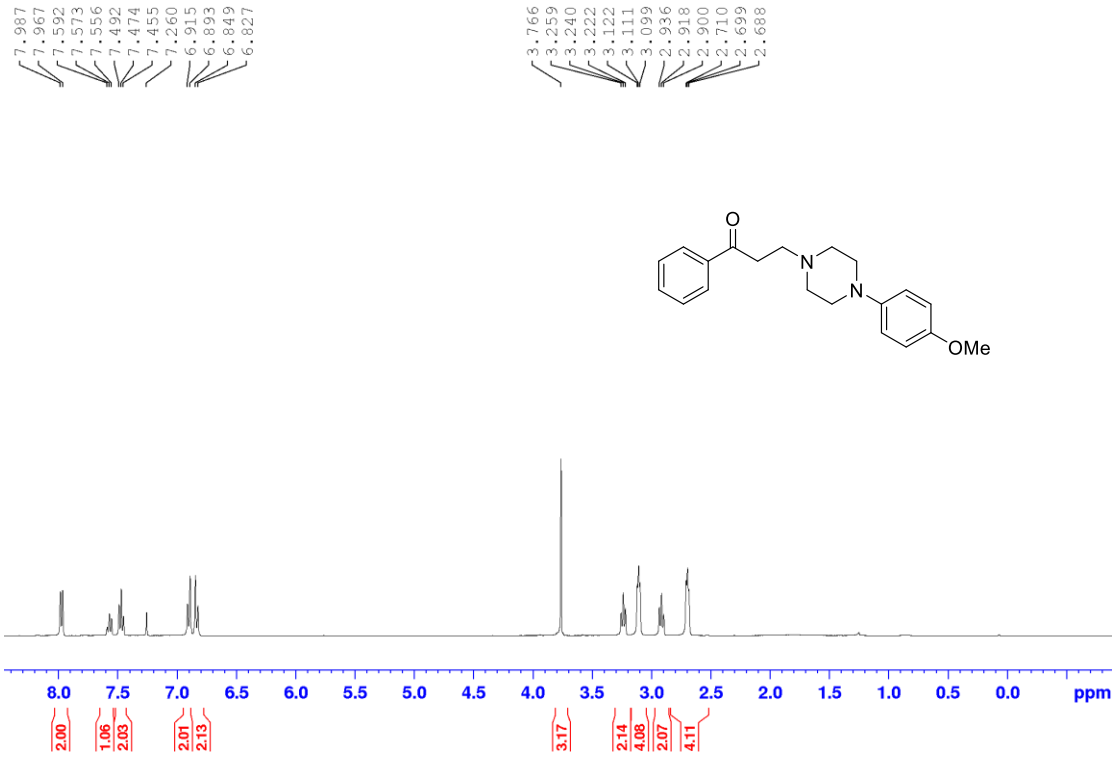
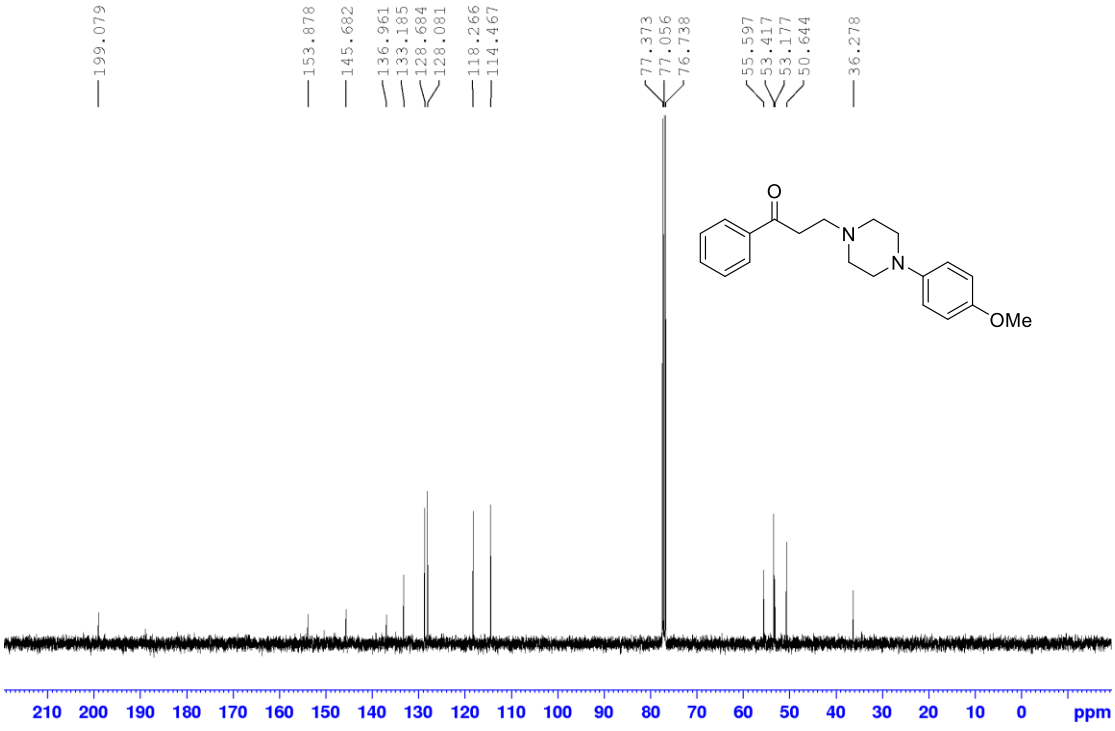


^{13}C NMR of compound **5aa**



^{1}H NMR of compound **5ab**



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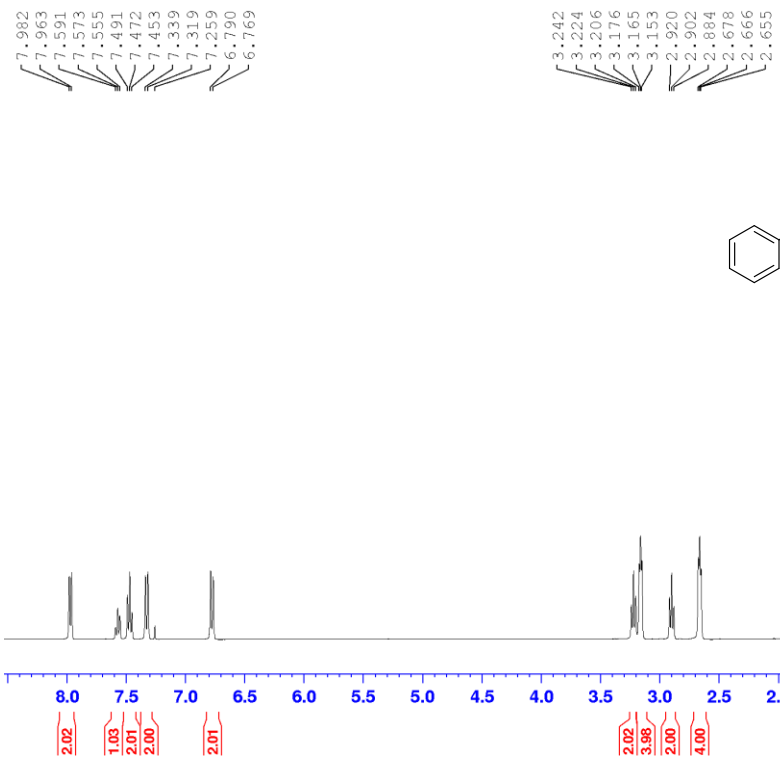
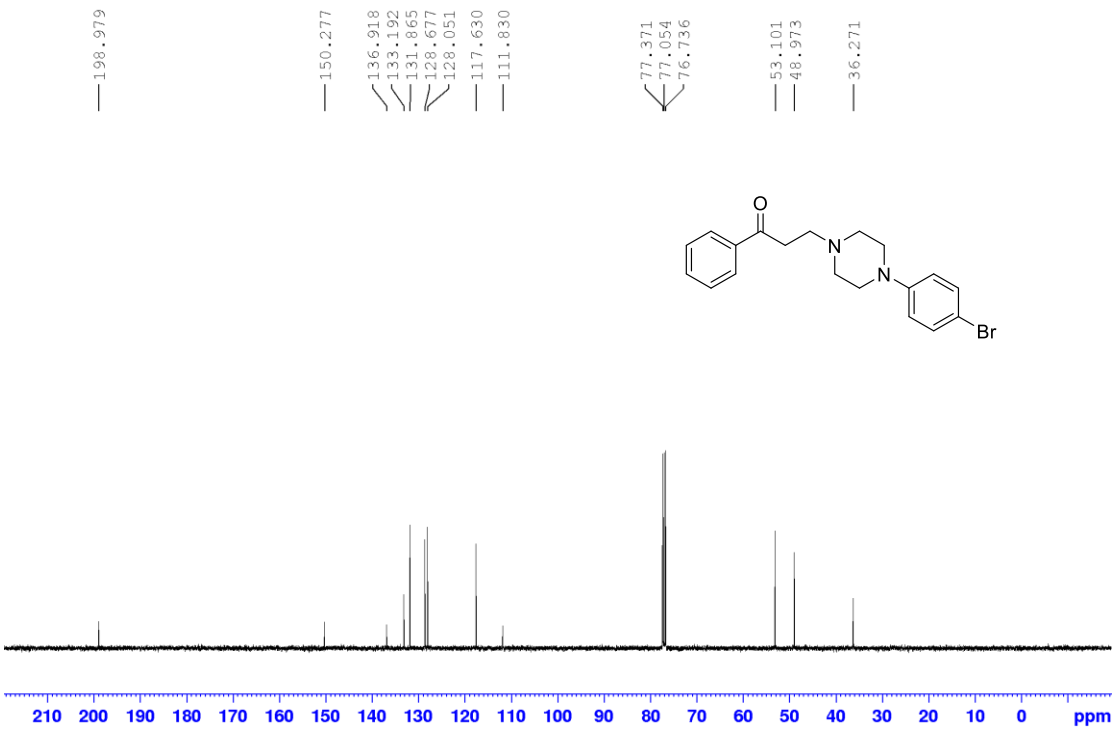
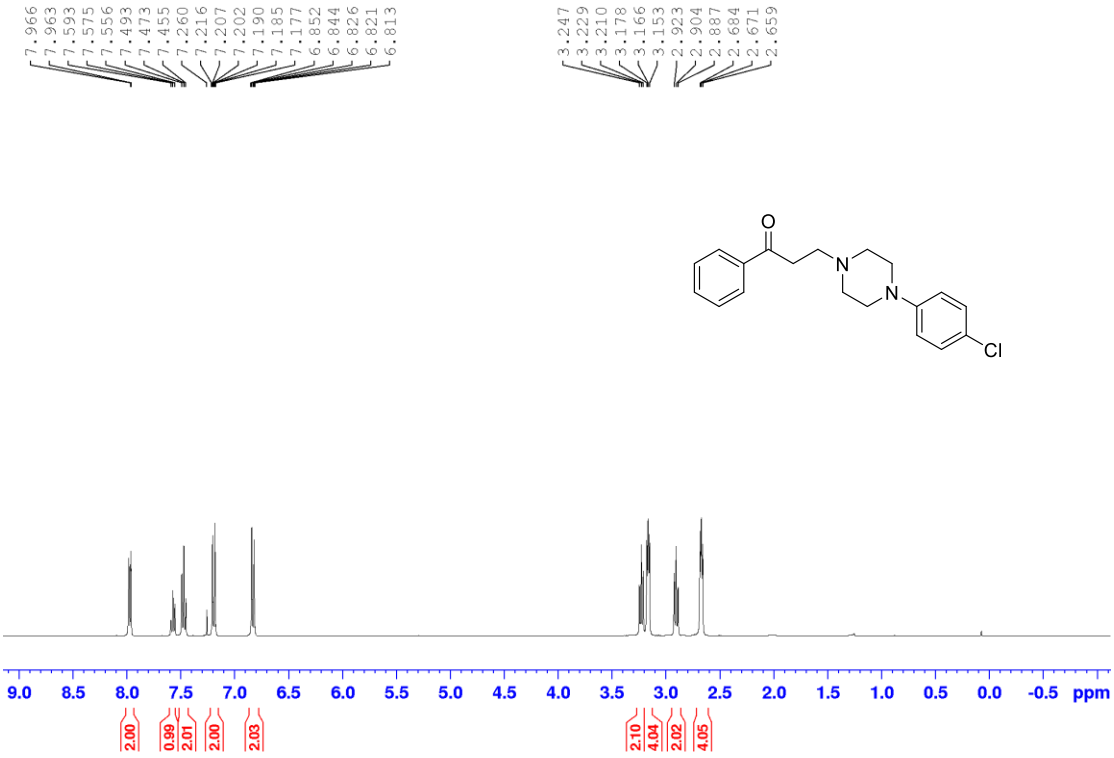


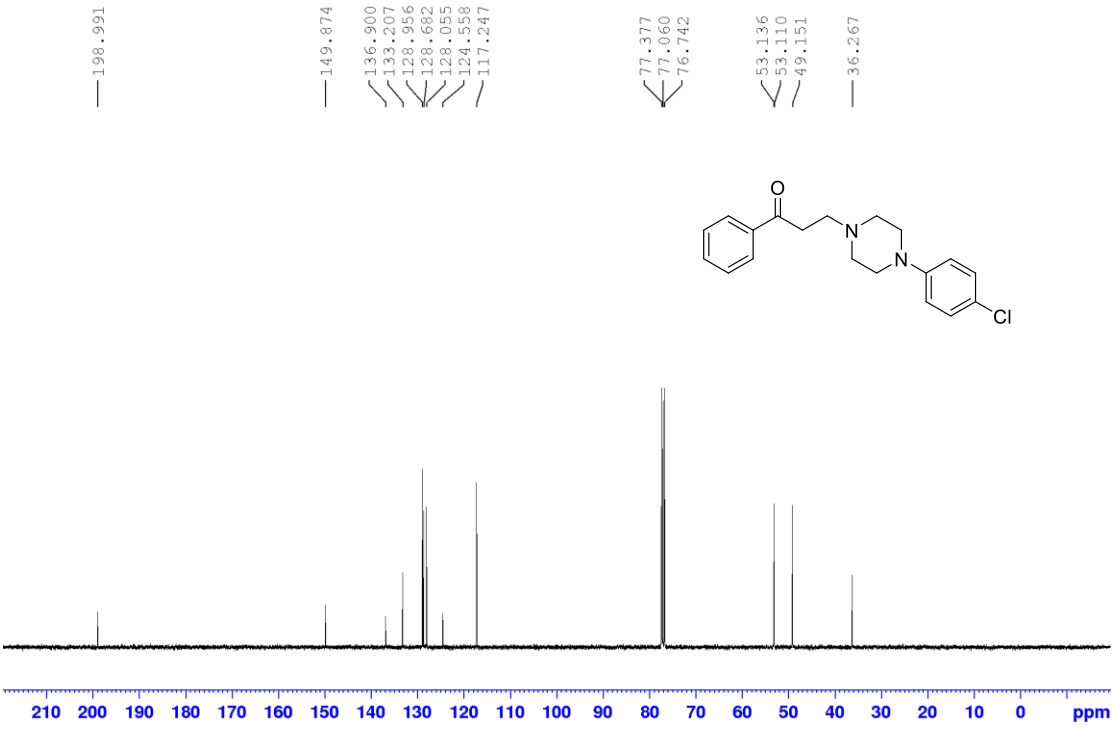
^{1}H NMR of compound **5ac**



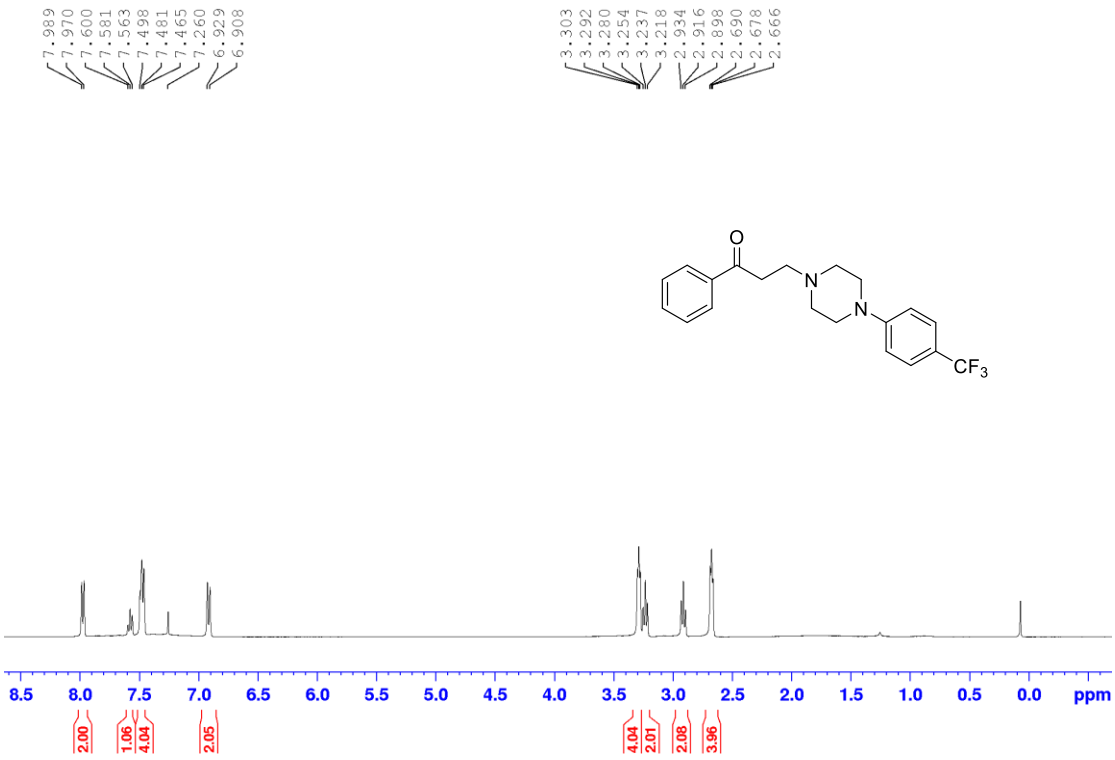
^{13}C NMR of compound **5ac**



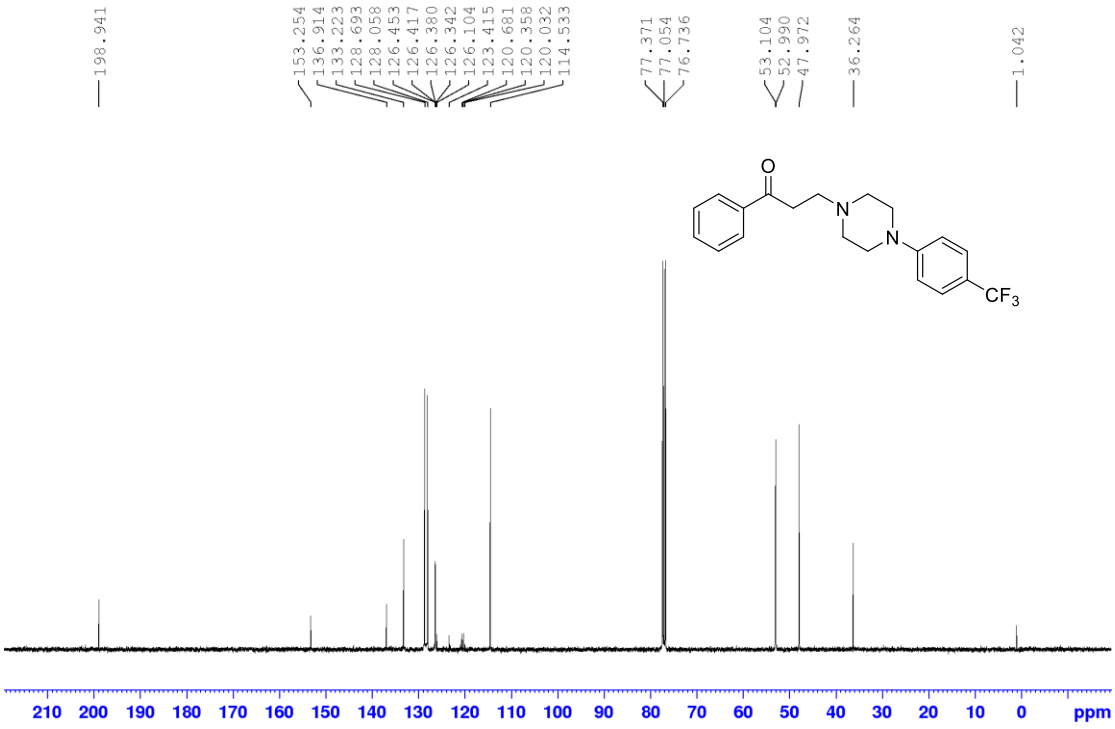
^{1}H NMR of compound **5ad**



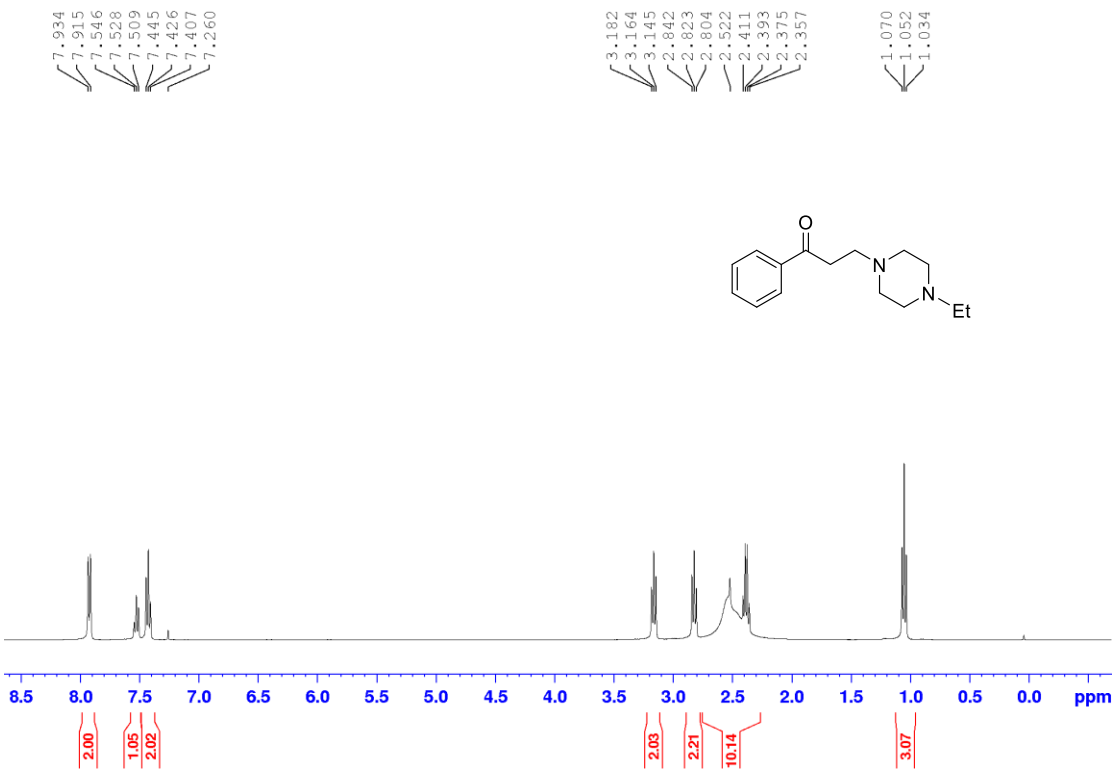
^{13}C NMR of compound **5ad**



^{1}H NMR of compound **5ae**

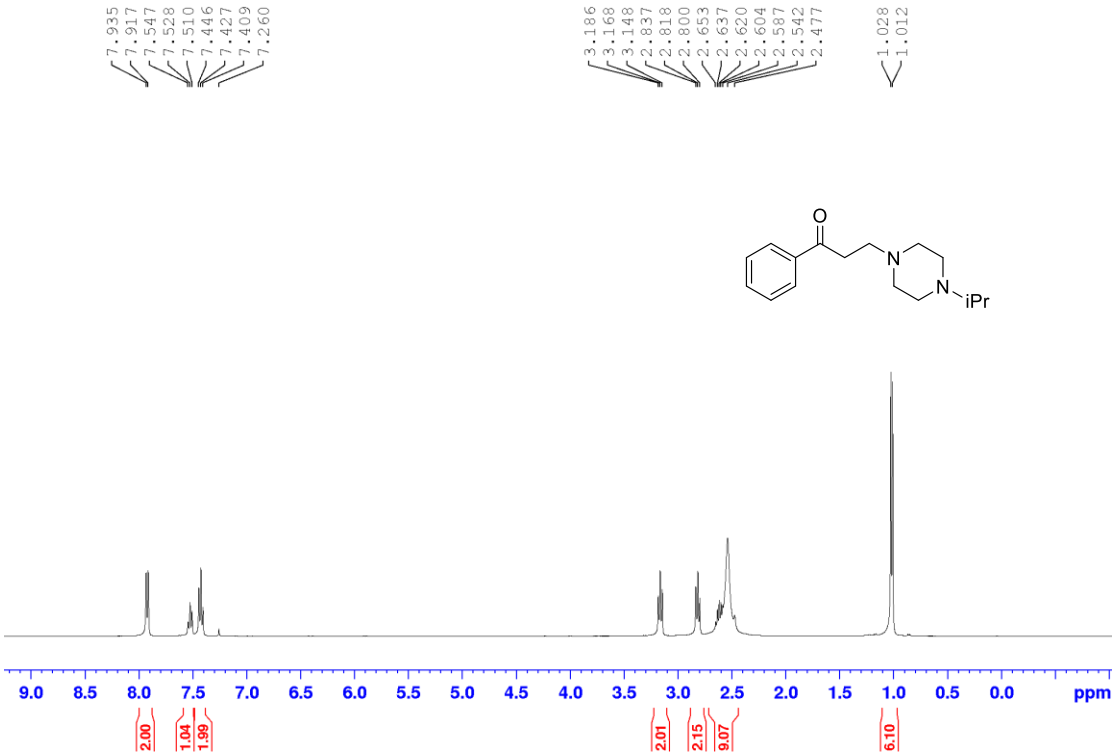


^{13}C NMR of compound **5ae**

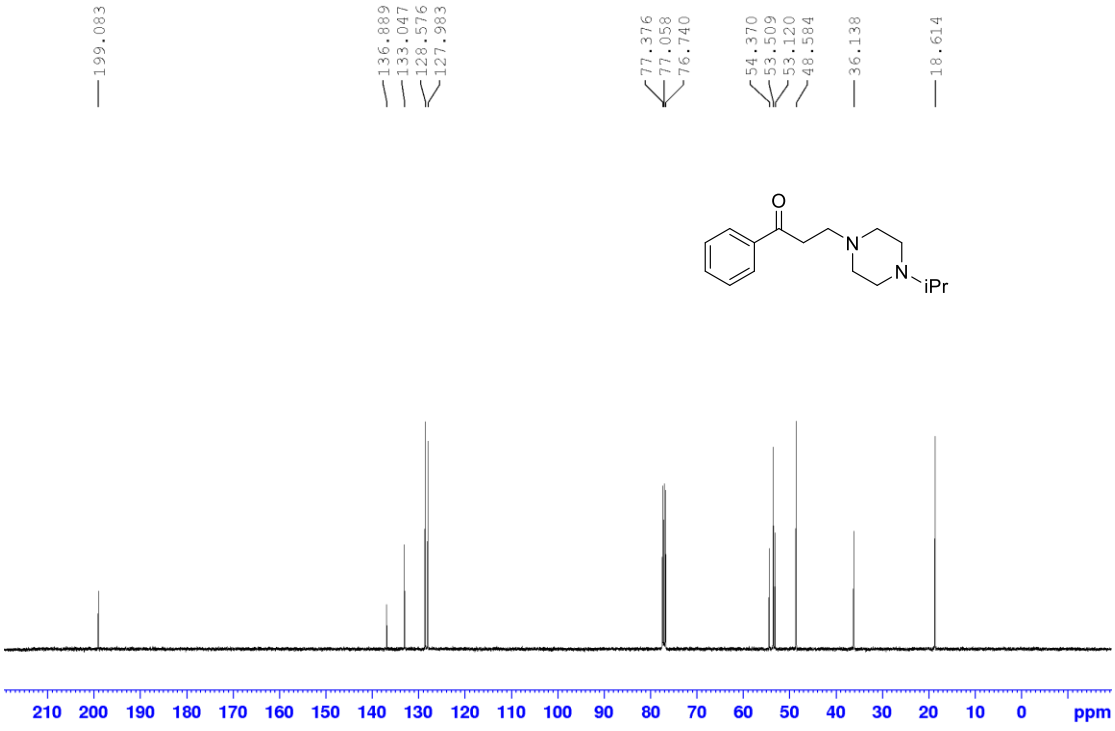


^{1}H NMR of compound **5af**

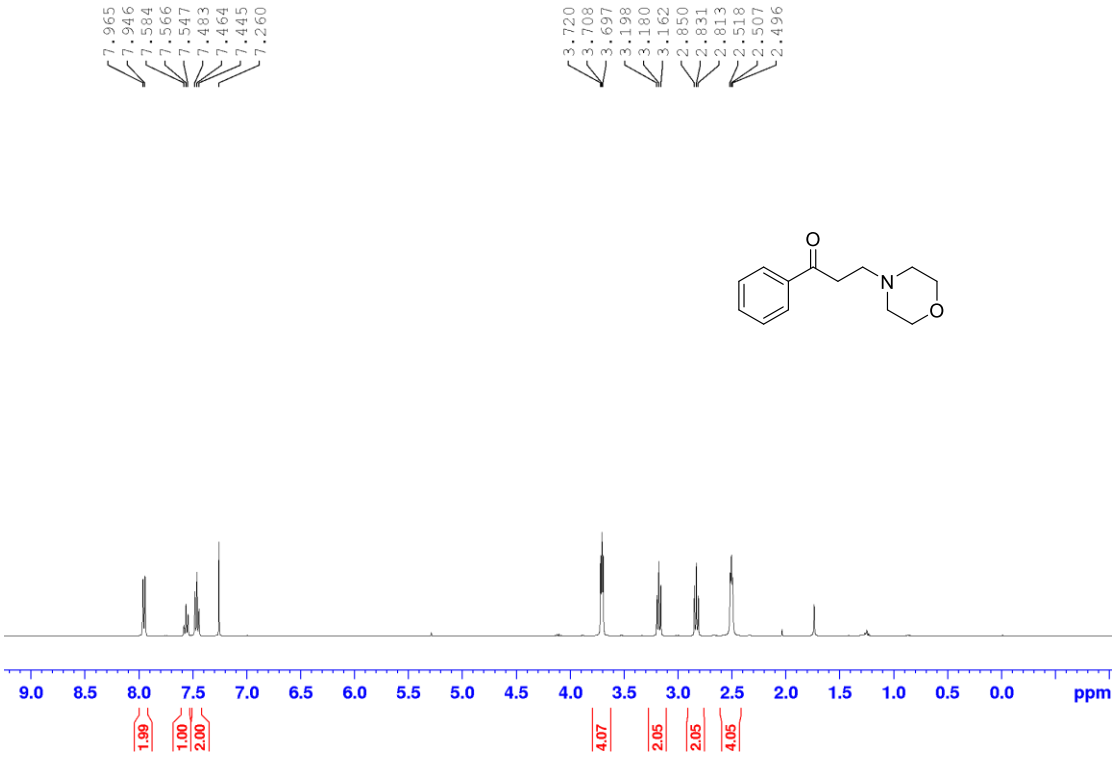
^{13}C NMR of compound **5af**



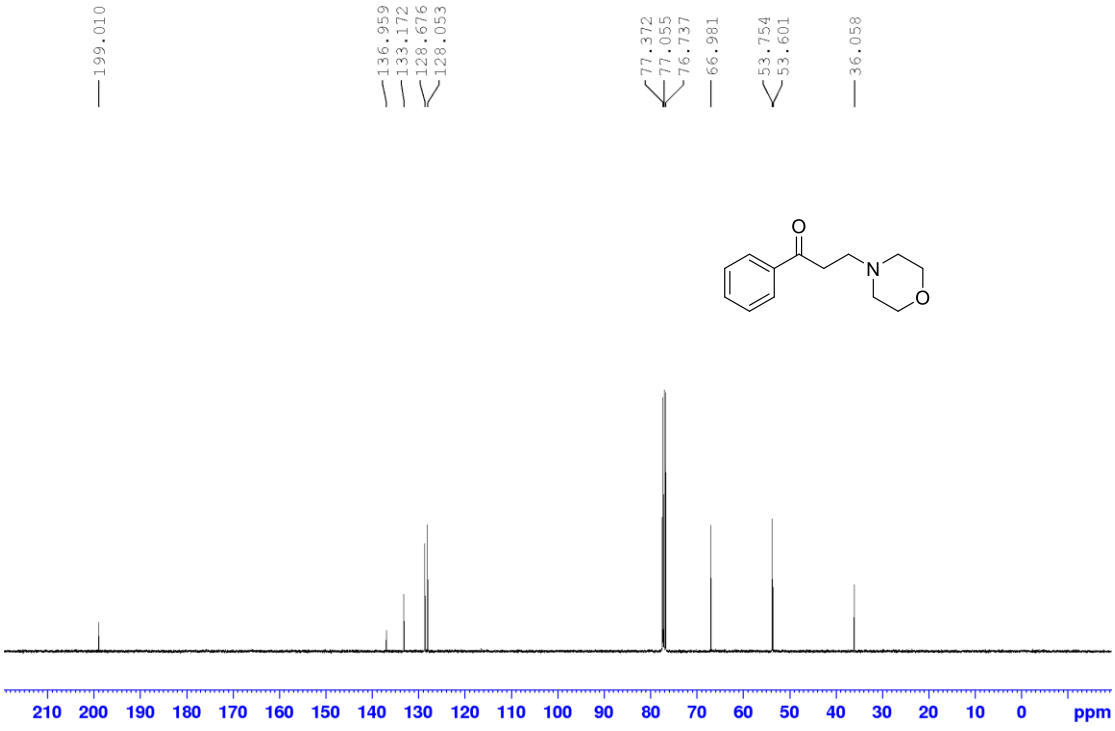
^{1}H NMR of compound **5ag**



^{13}C NMR of compound **5ag**



^{1}H NMR of compound **5ah**



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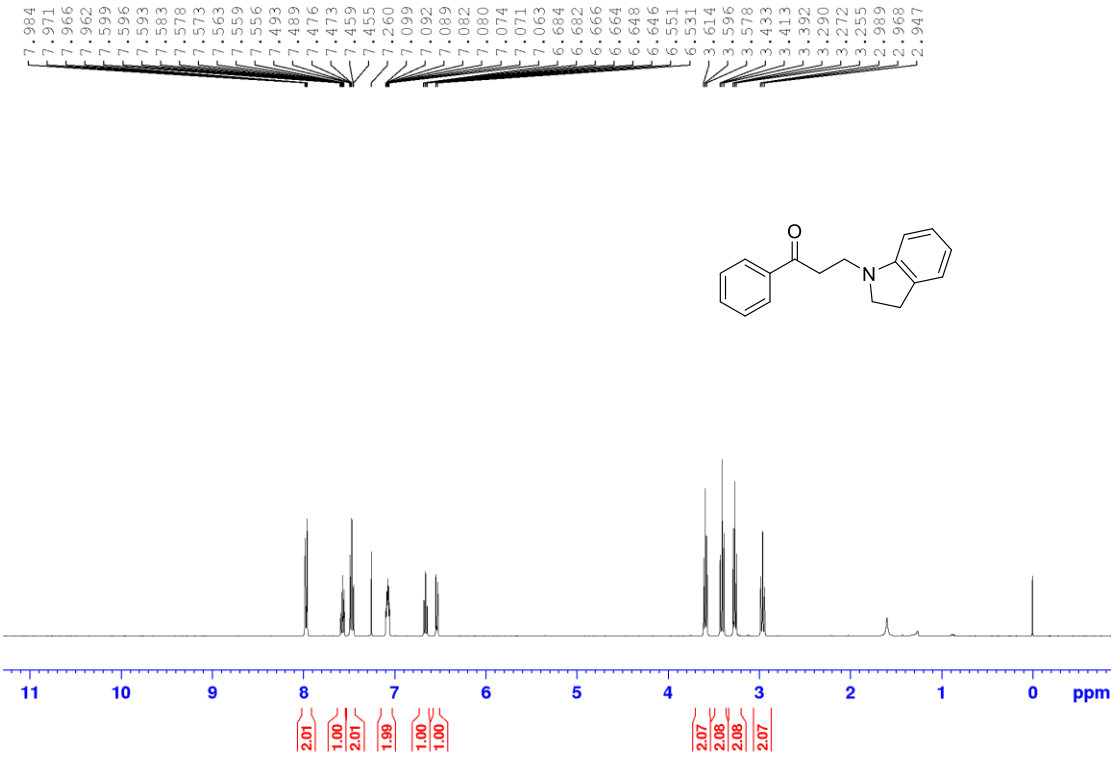

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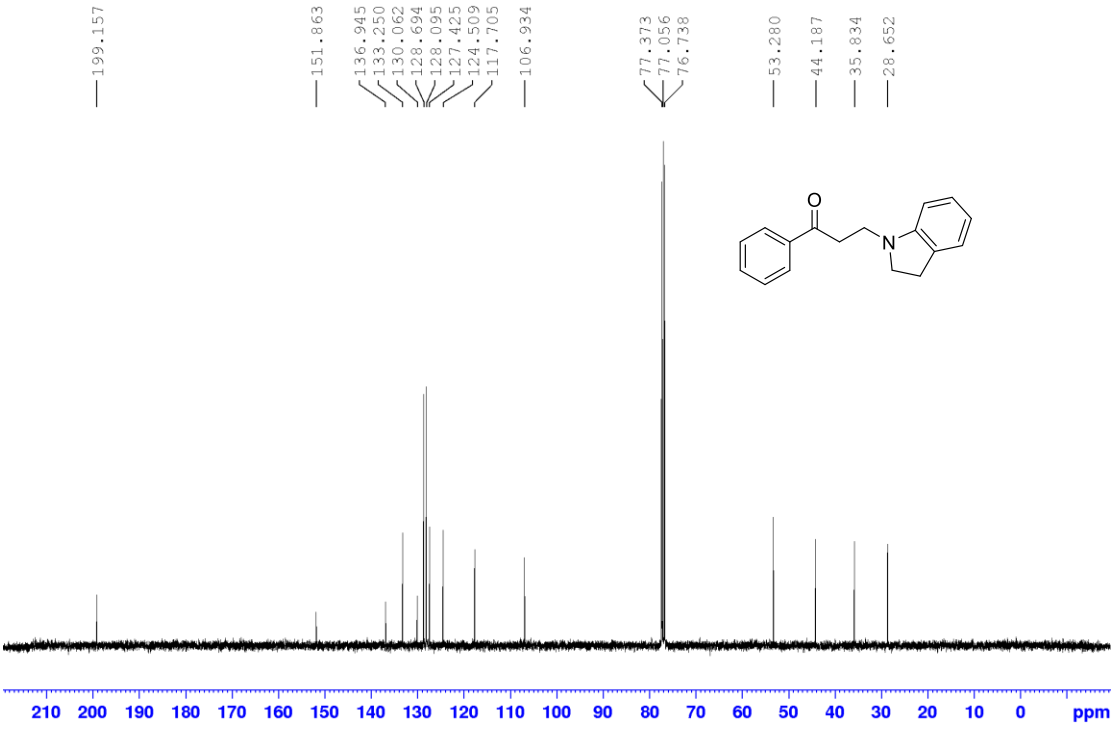
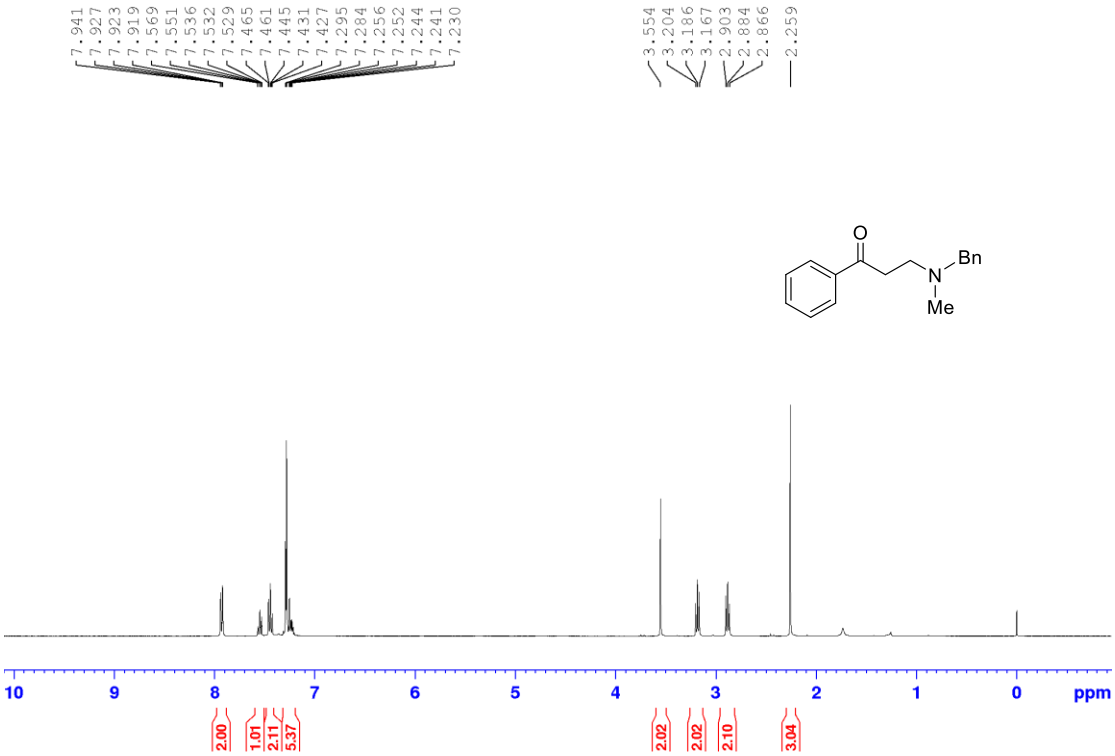
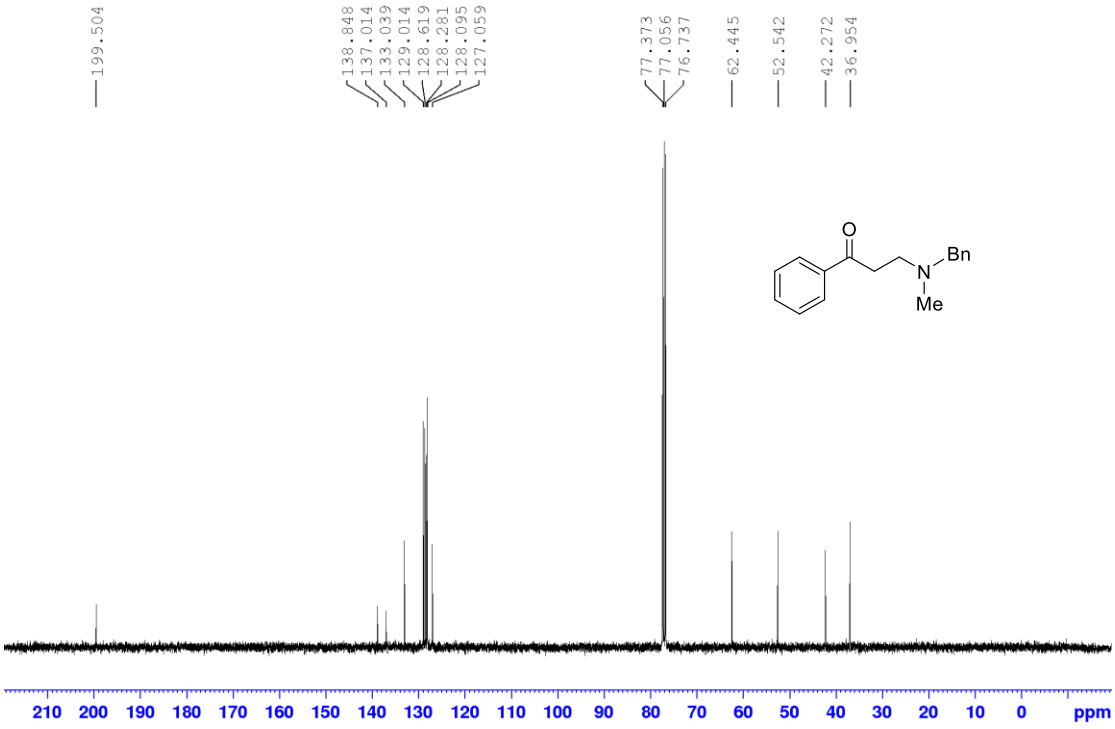


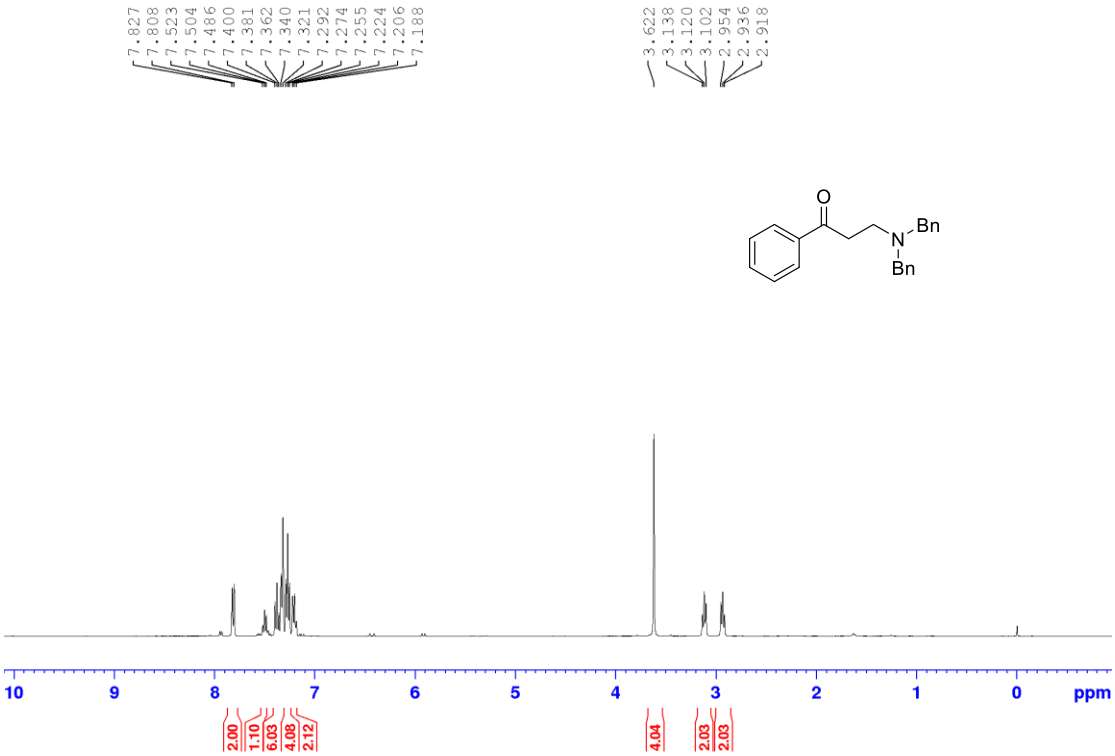
^{13}C NMR of compound **5ai**



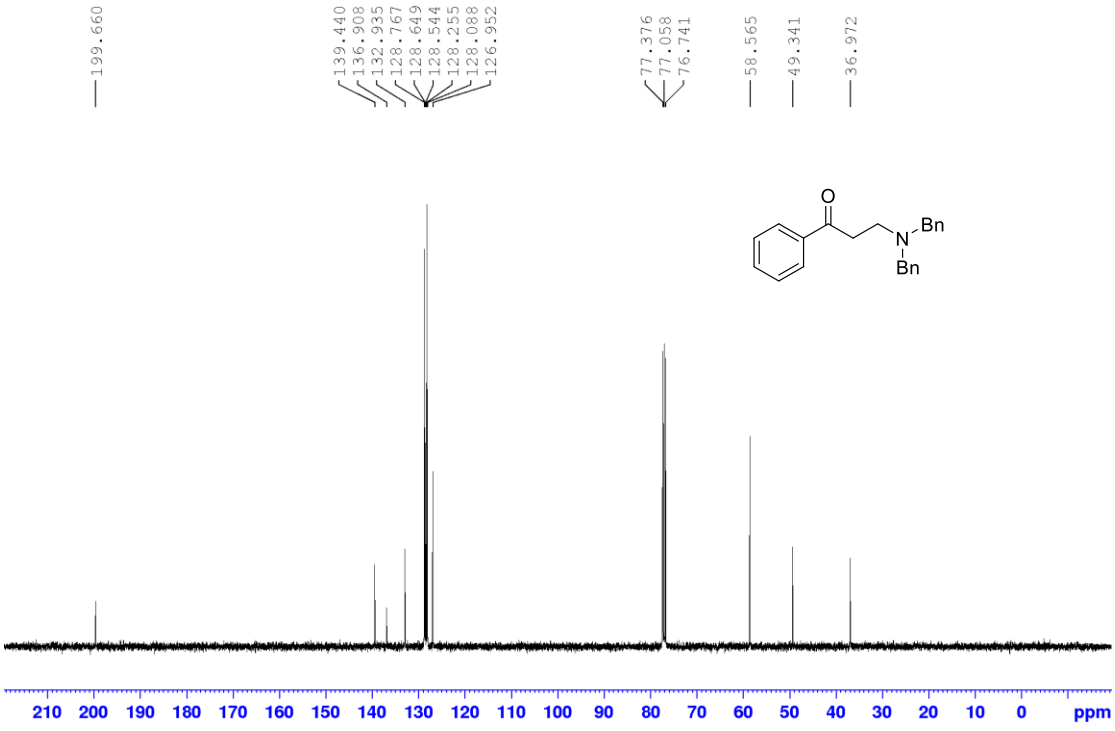
^{1}H NMR of compound **5aj**



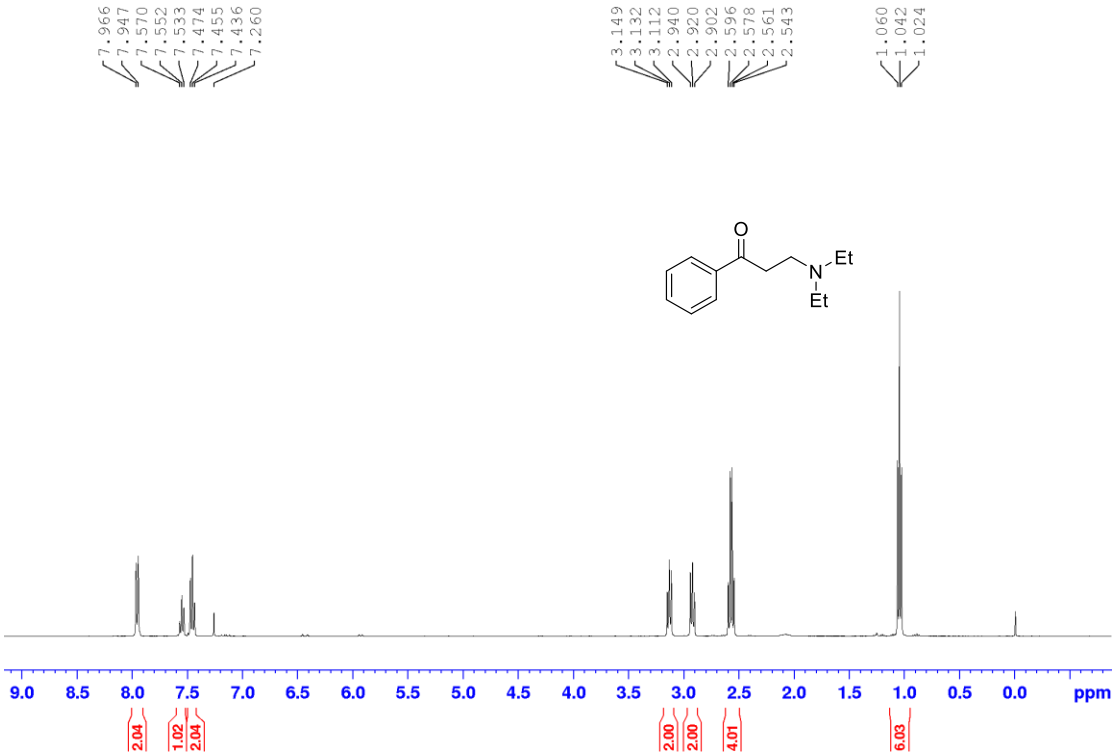
^{13}C NMR of compound **5aj**



^{1}H NMR of compound **5ak**



^{13}C NMR of compound **5ak**



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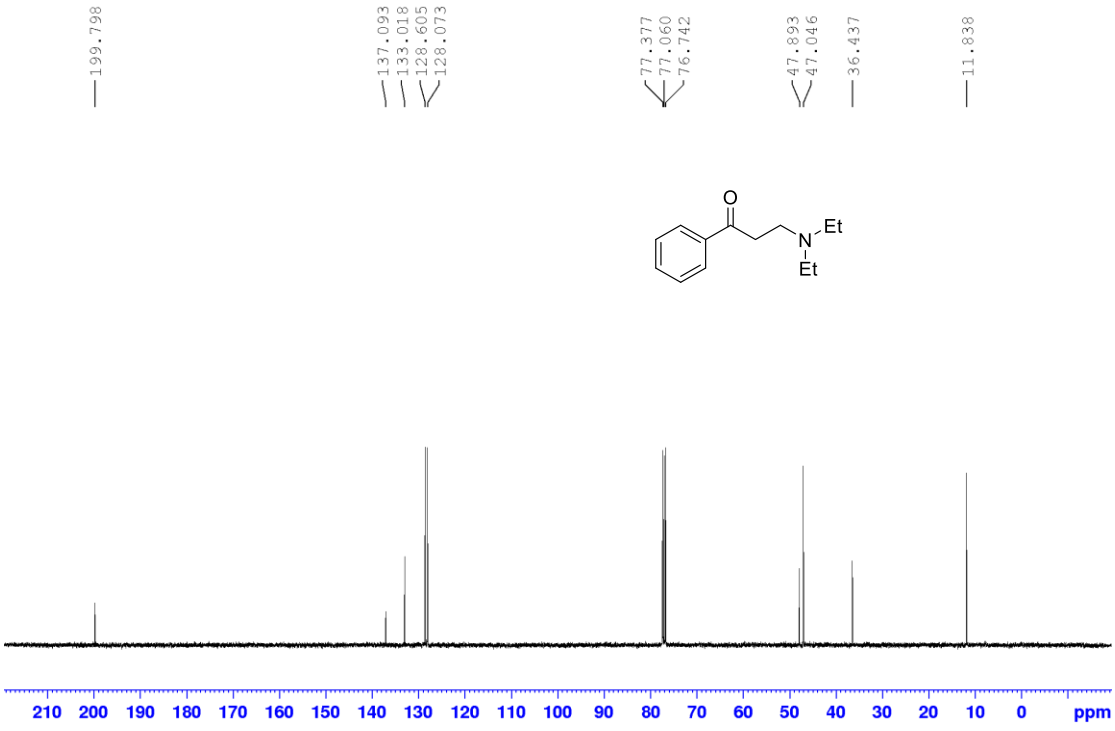

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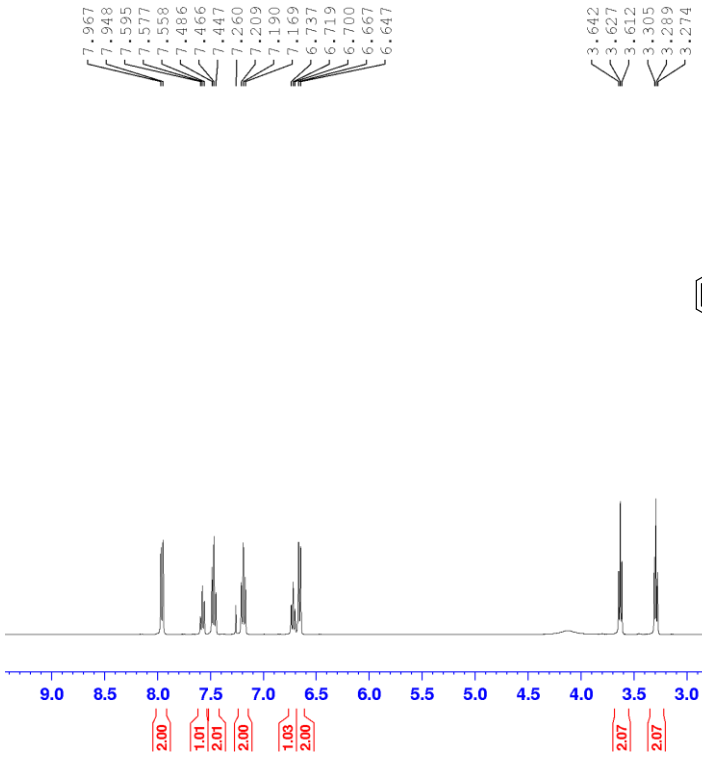
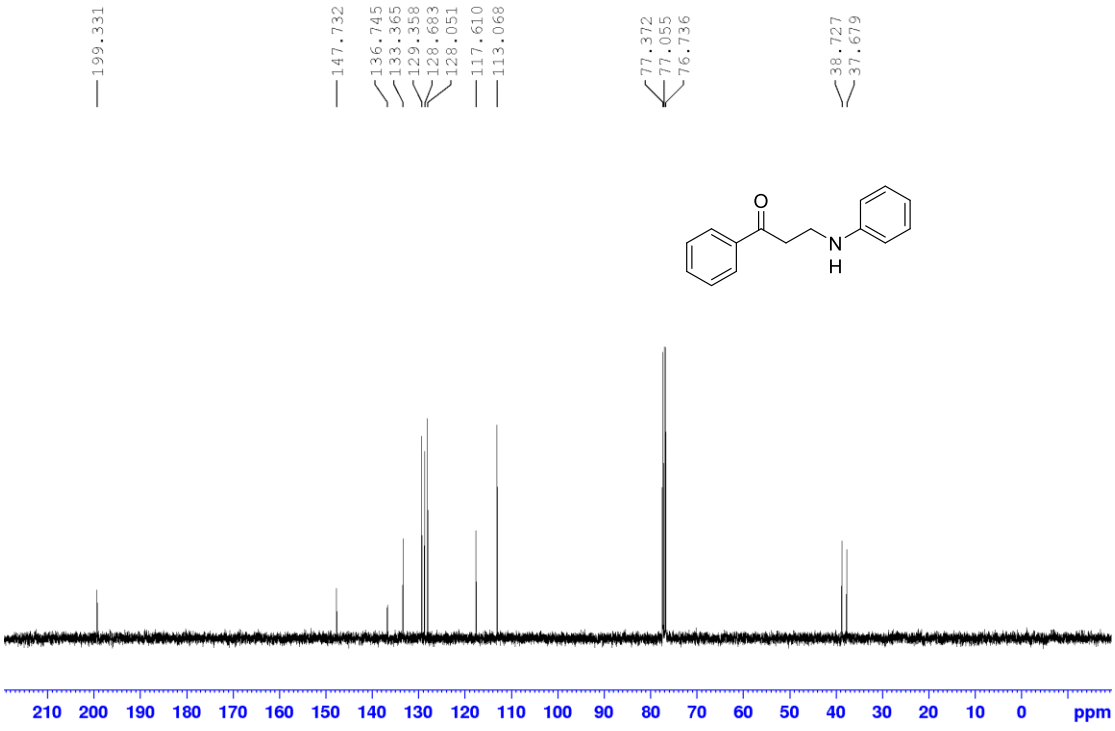
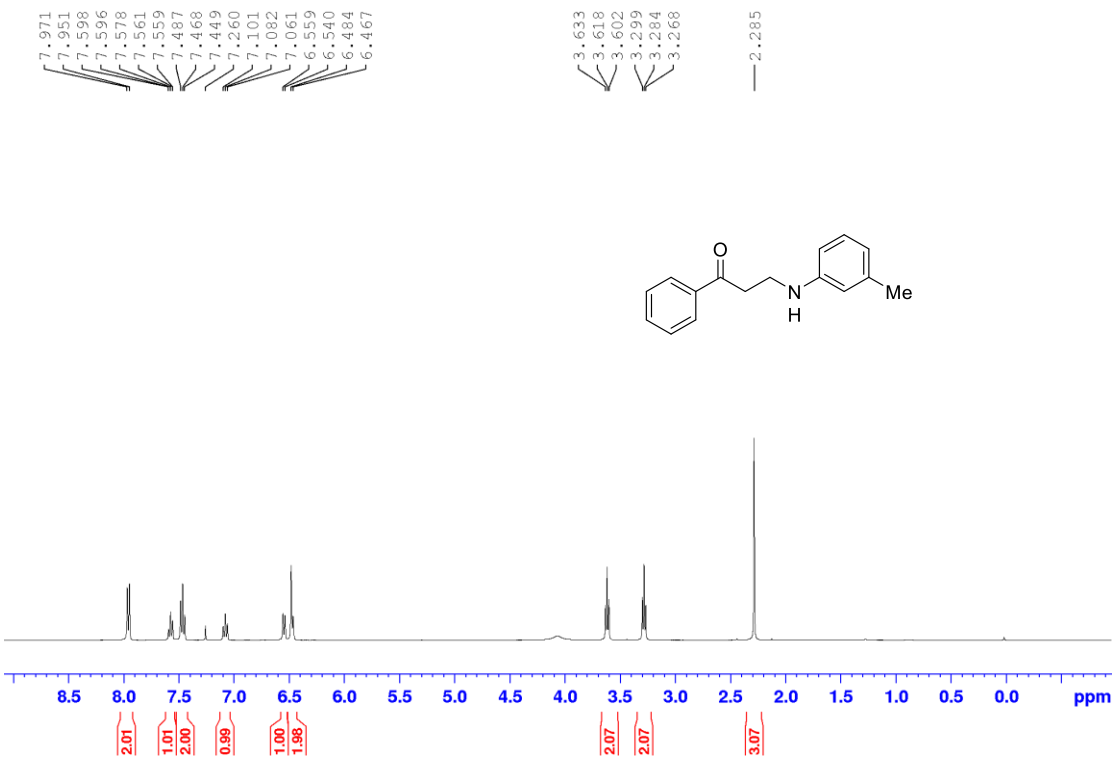


^{1}H NMR of compound **5am**



^{13}C NMR of compound **5am**



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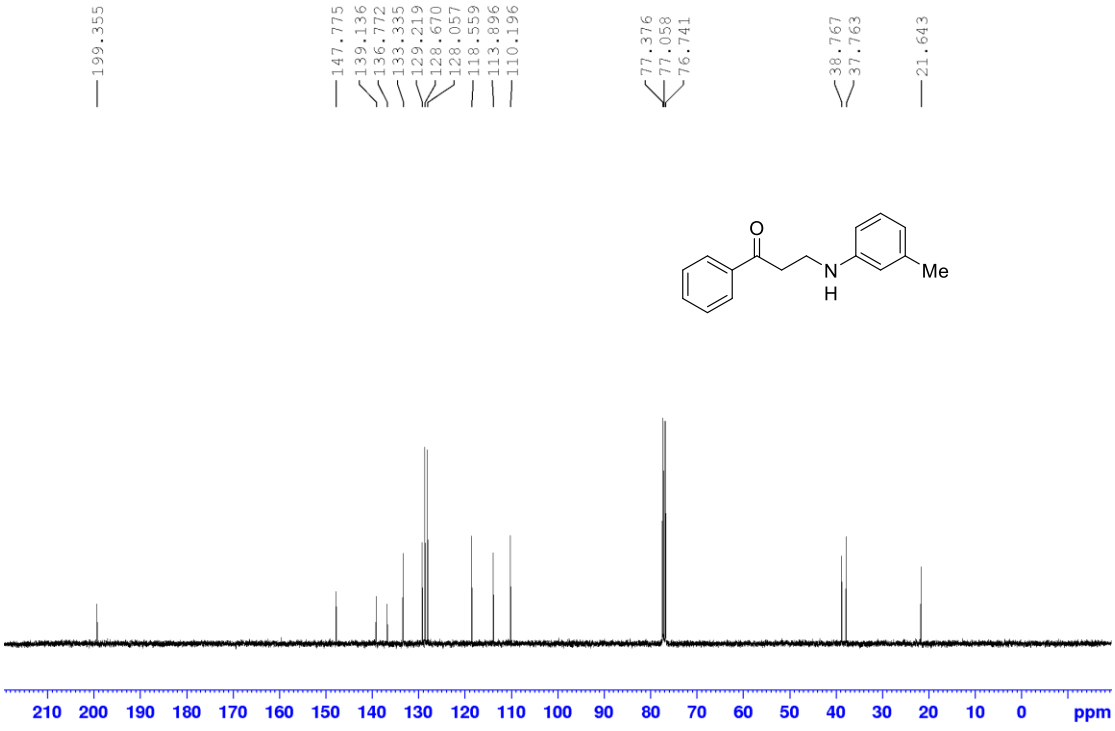

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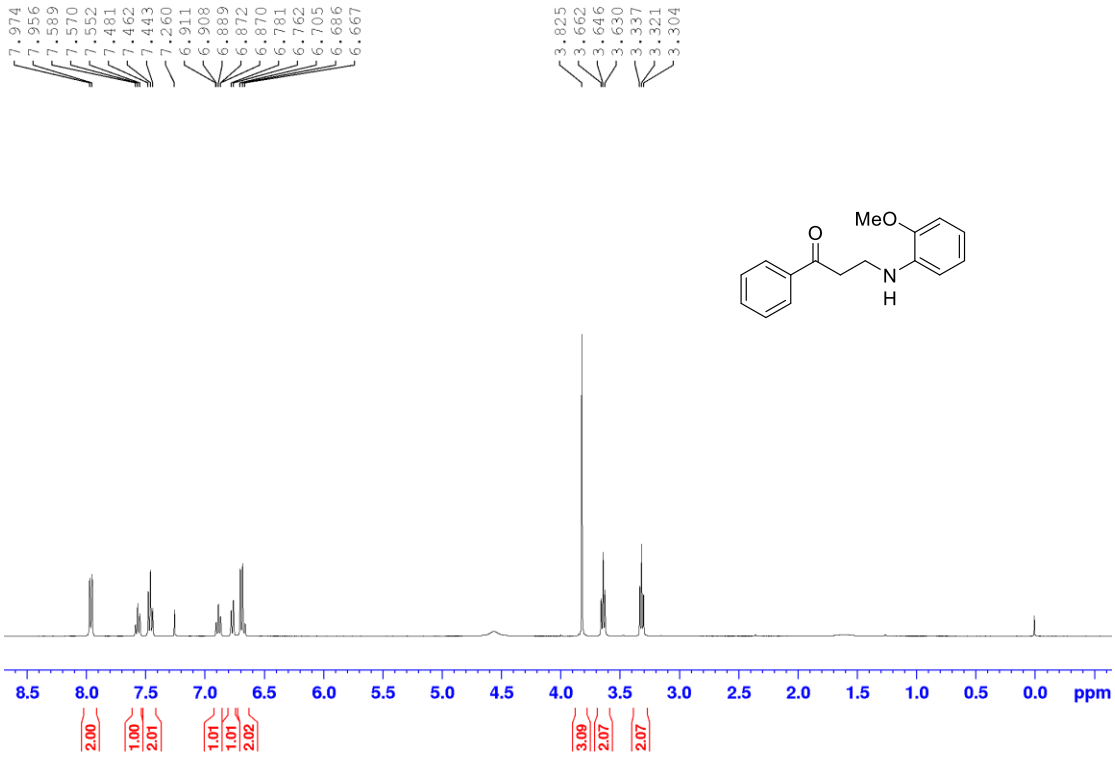
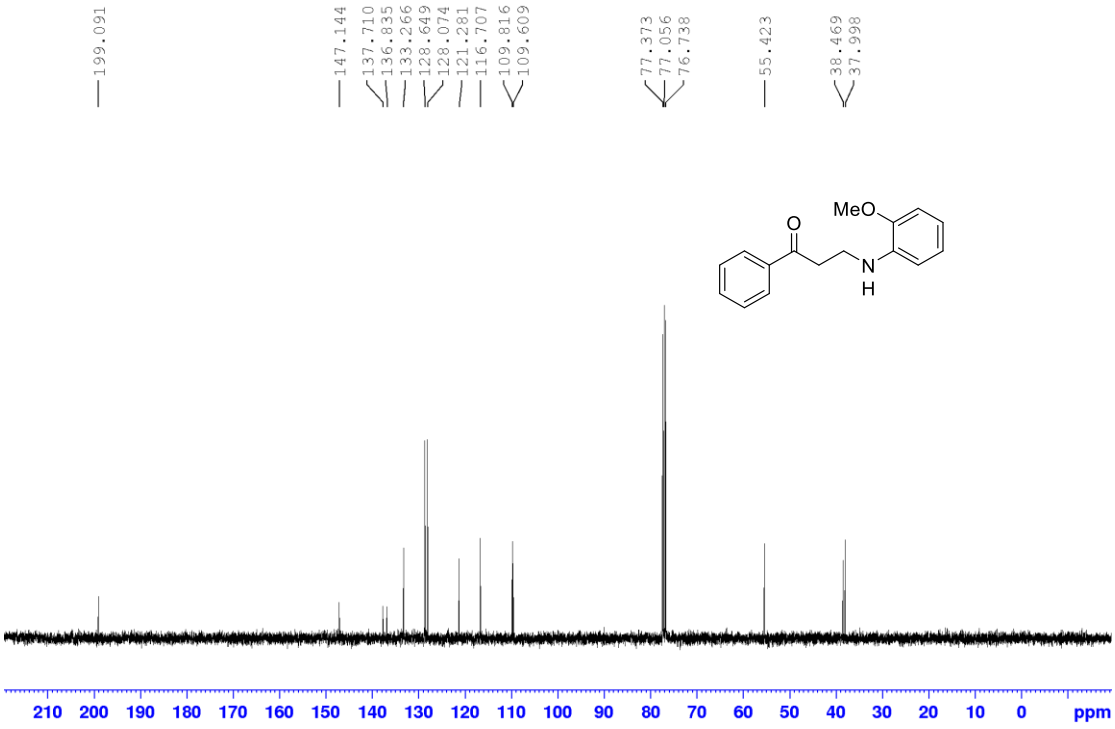
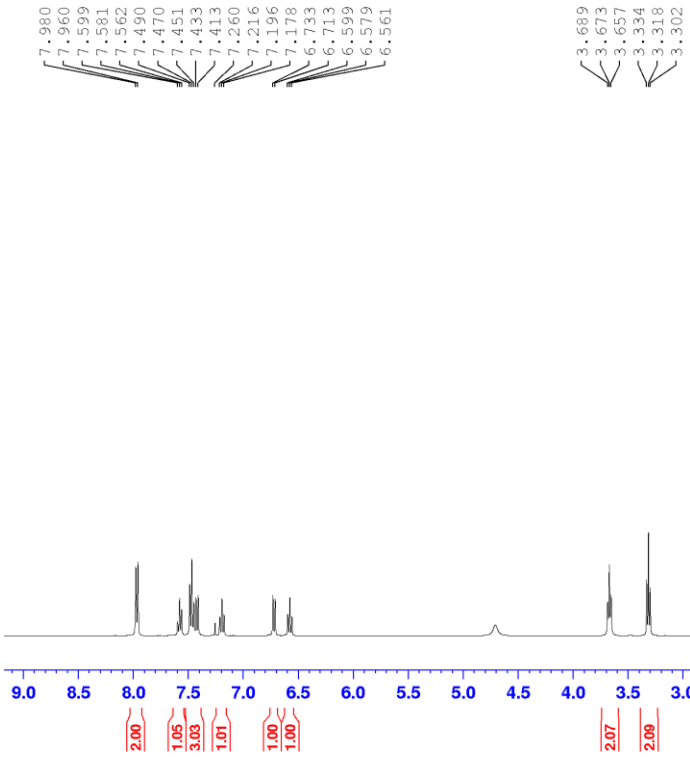


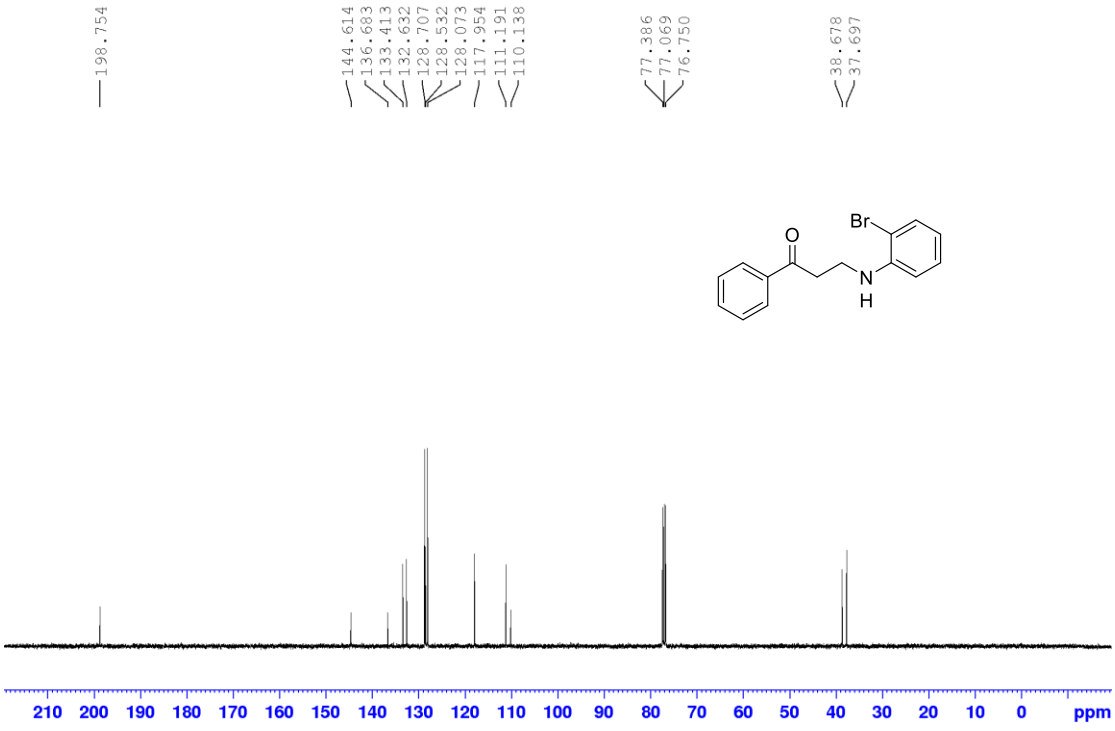
^{1}H NMR of compound **5ao**



^{13}C NMR of compound **5ao**



^{1}H NMR of compound **5ap**



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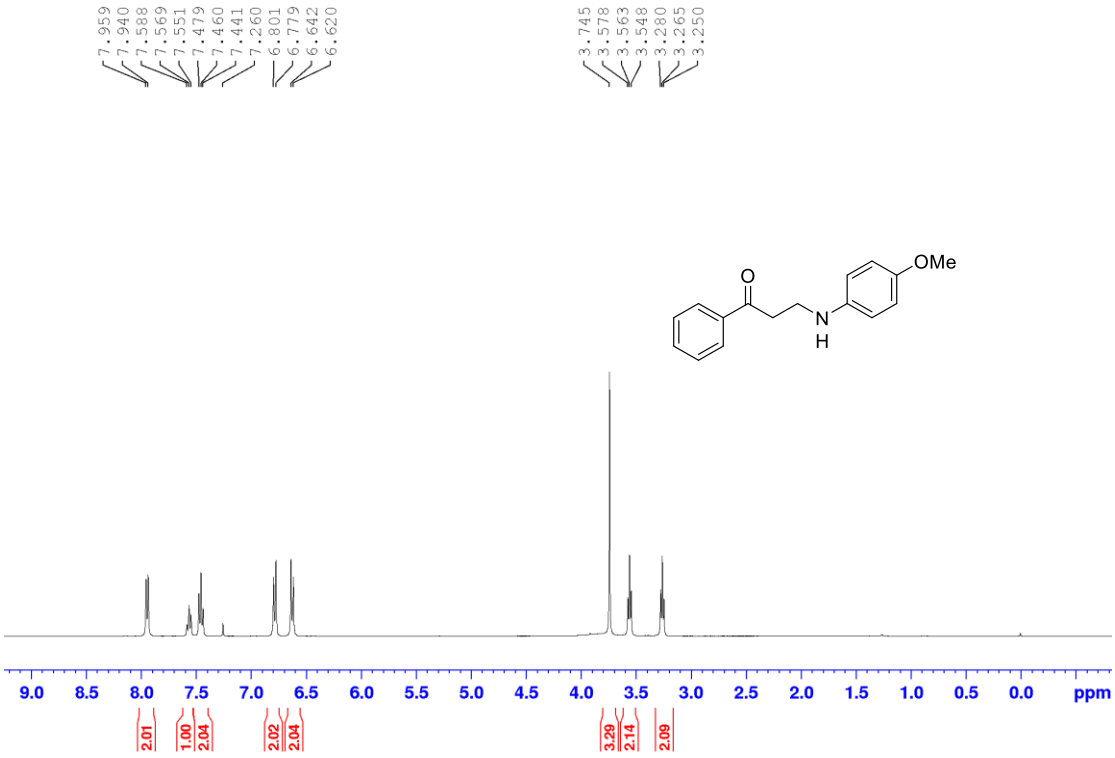
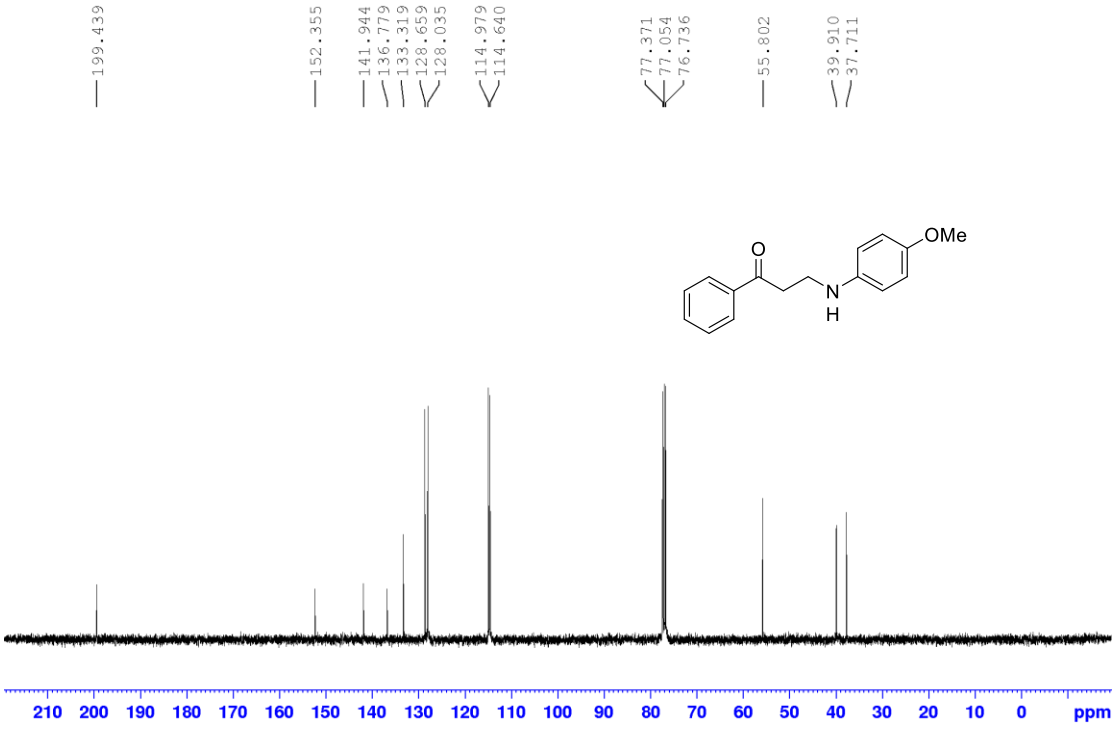


^{1}H NMR of compound **5aq**



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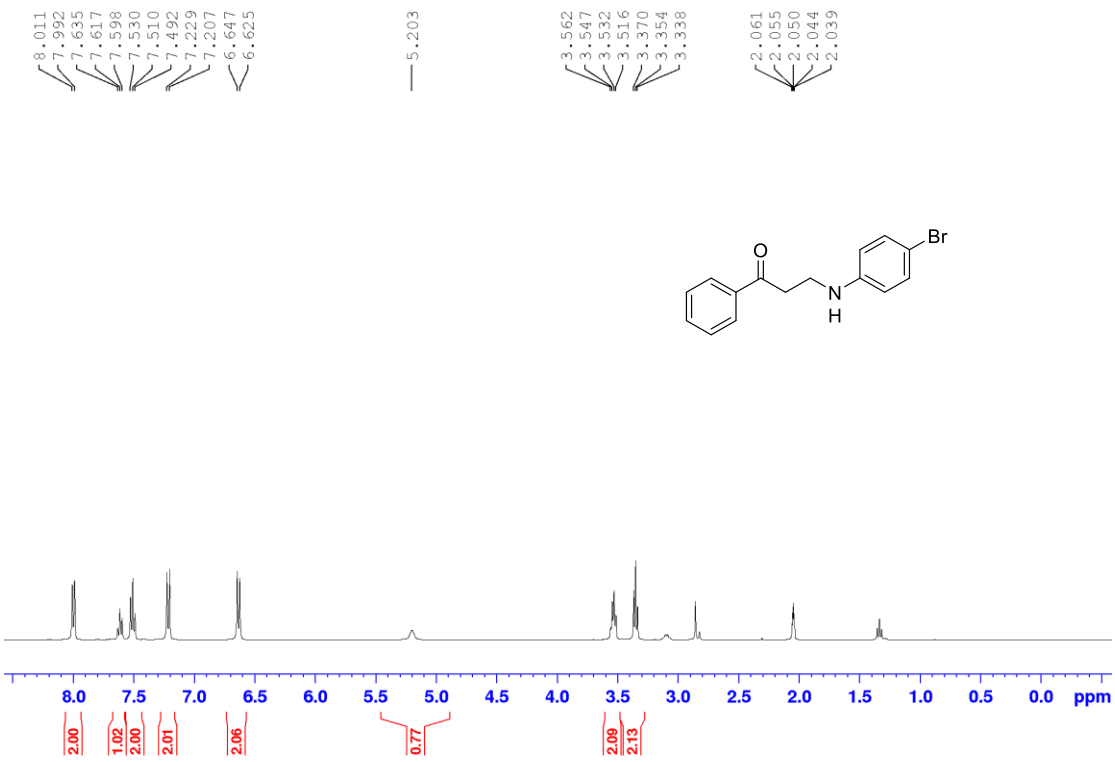

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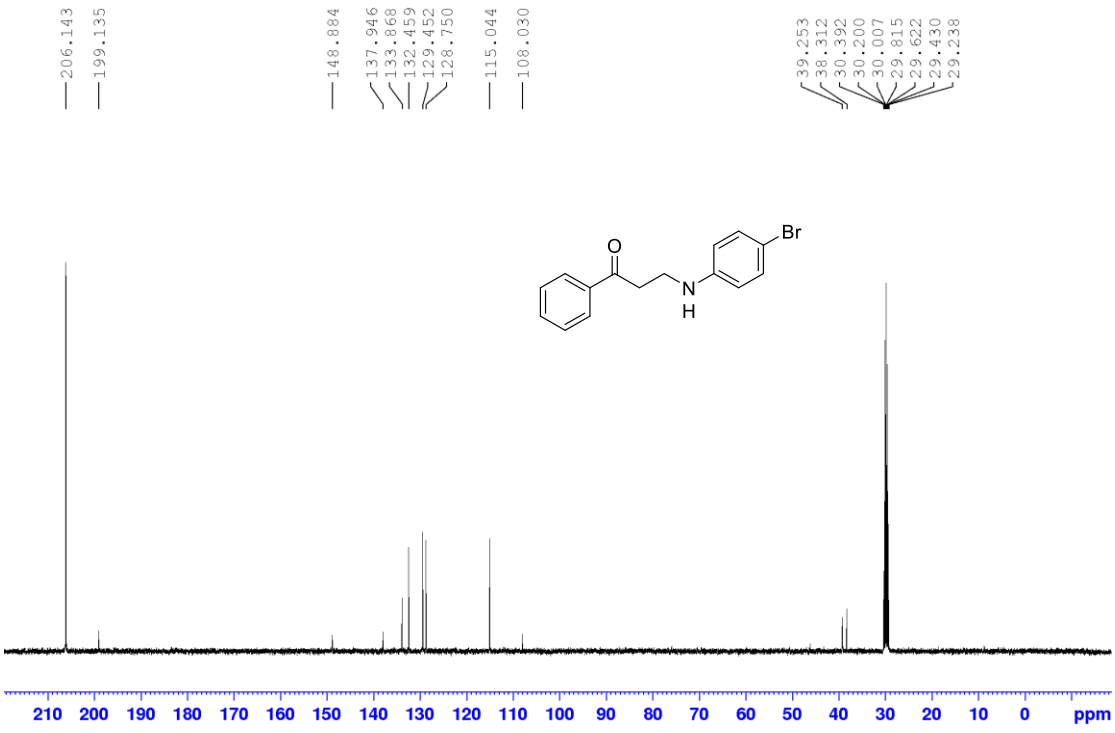
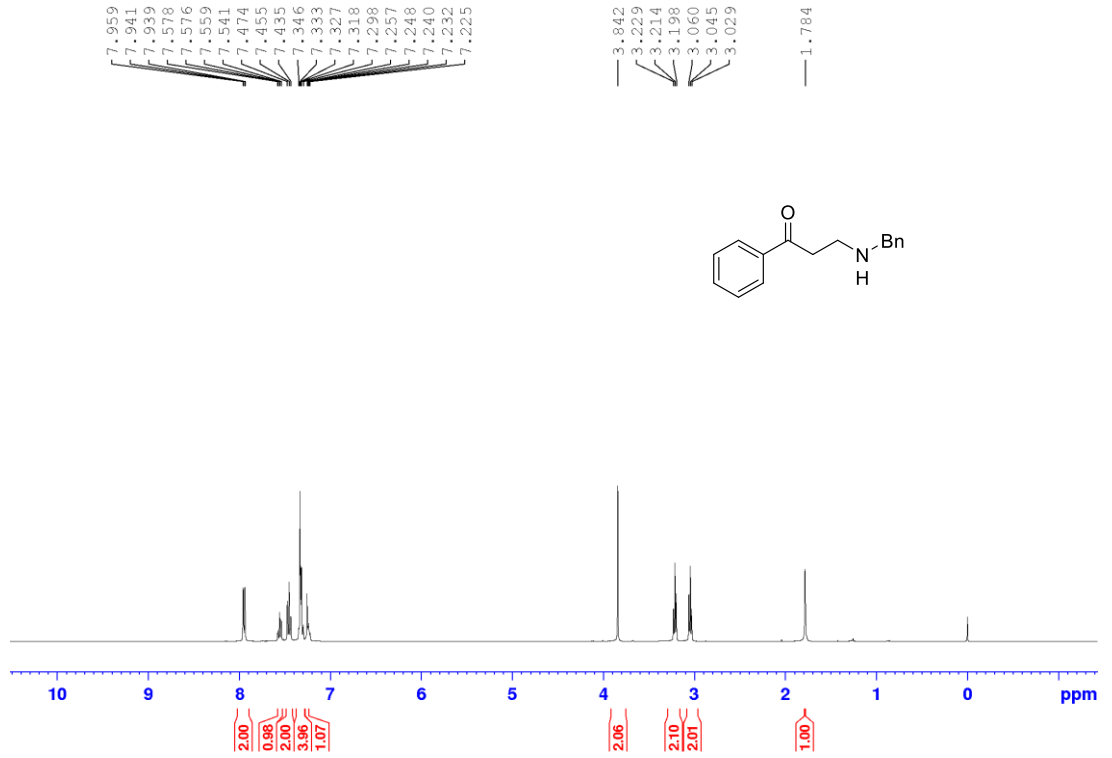


^{13}C NMR of compound **5ar**



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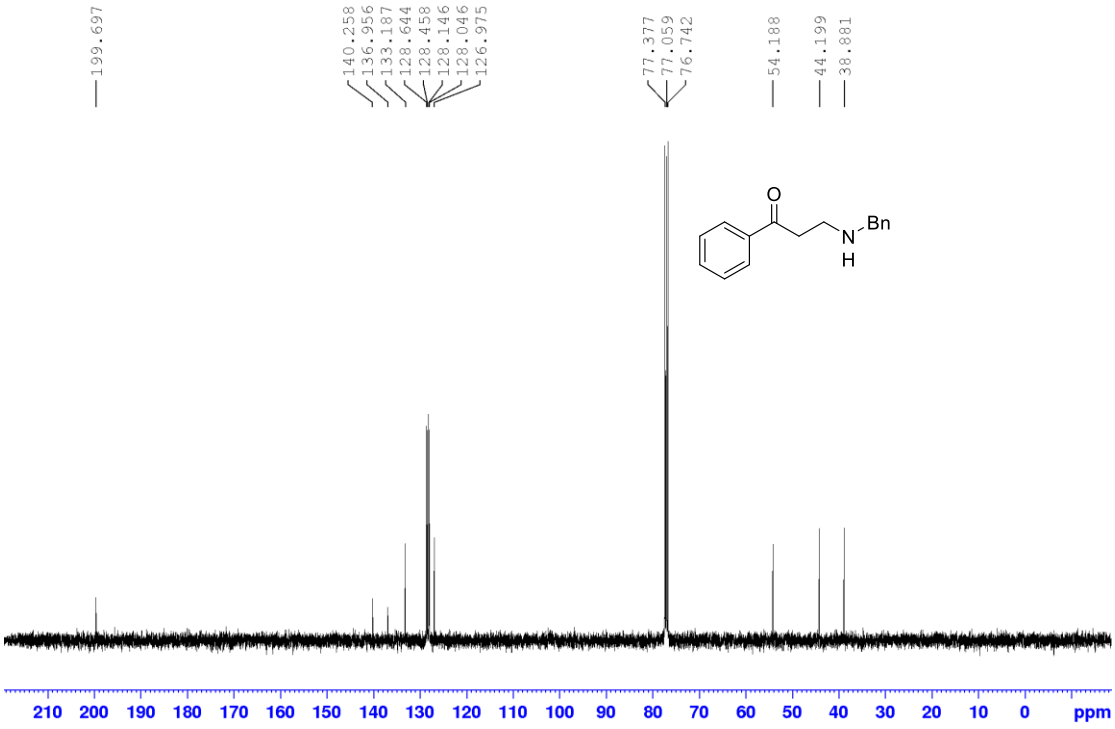

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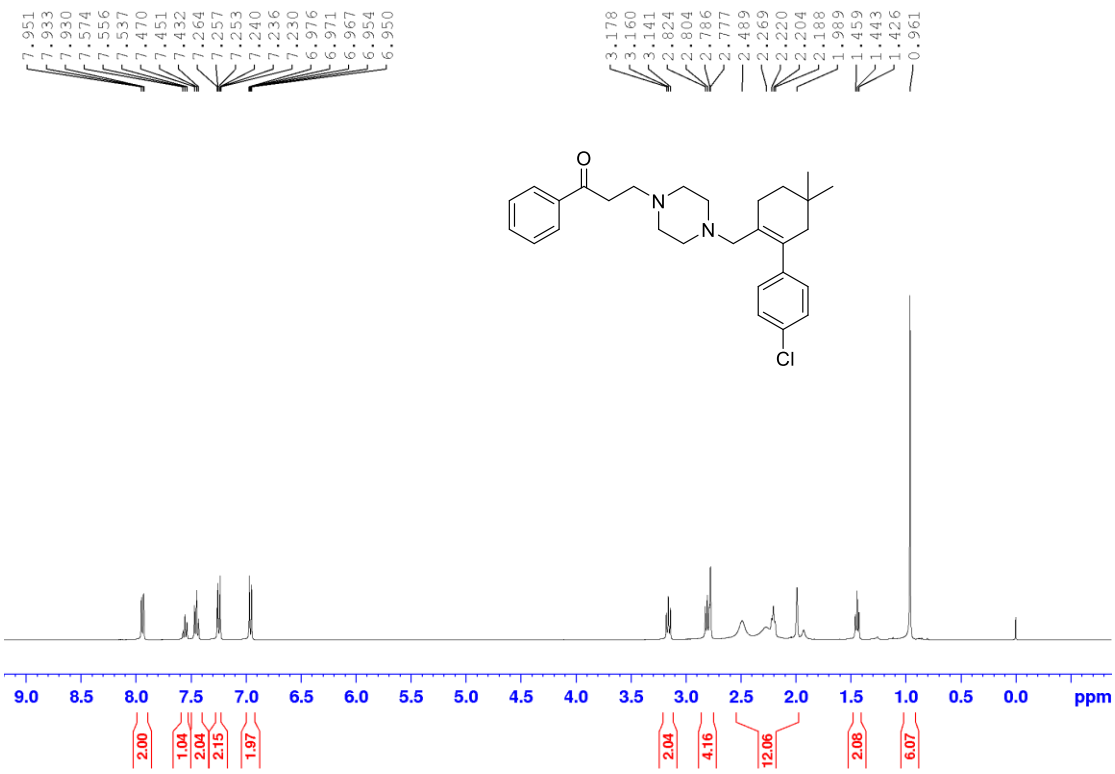
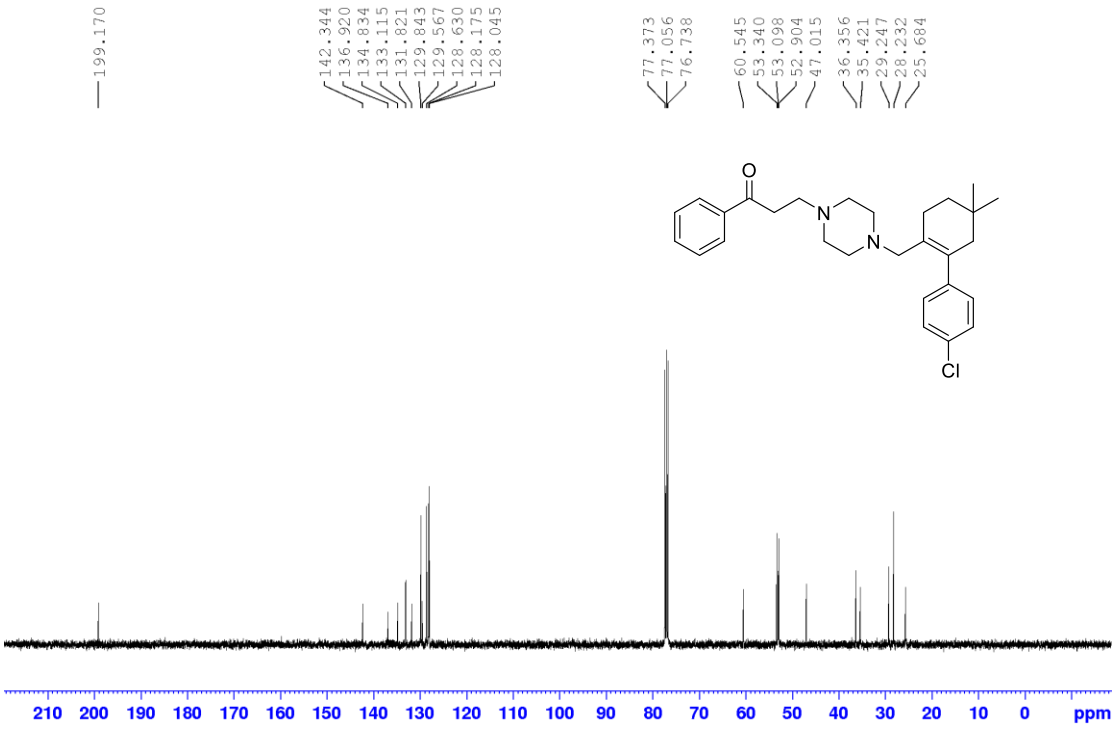
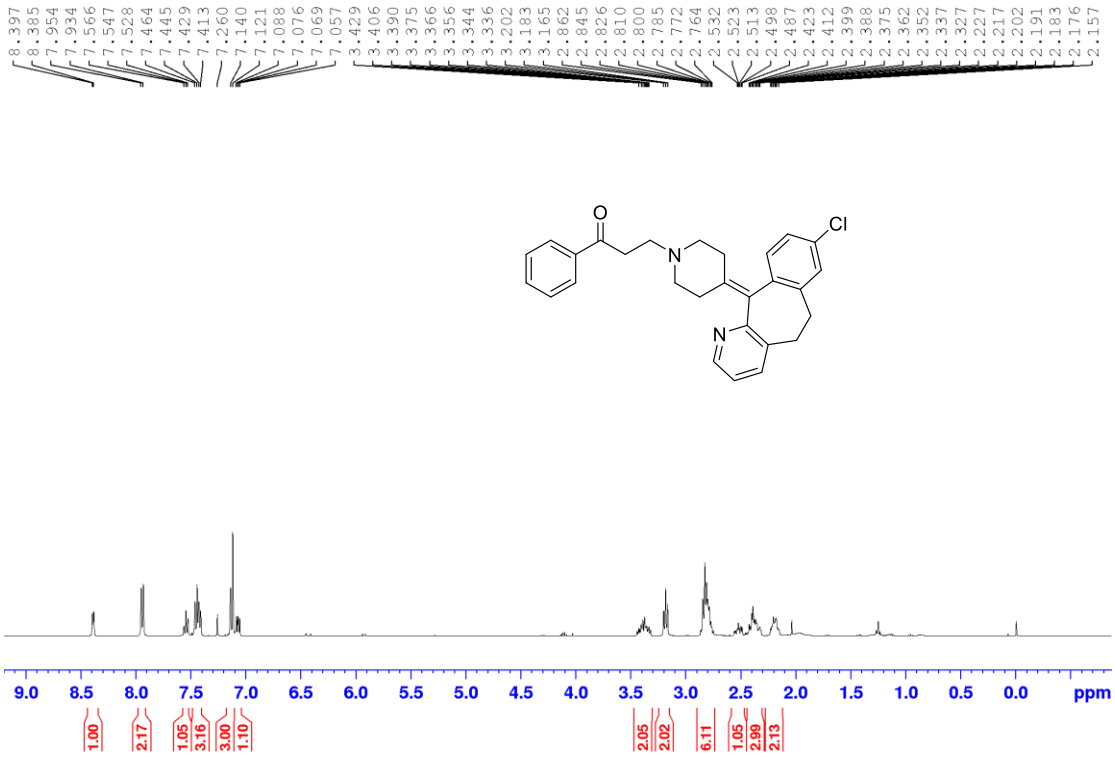


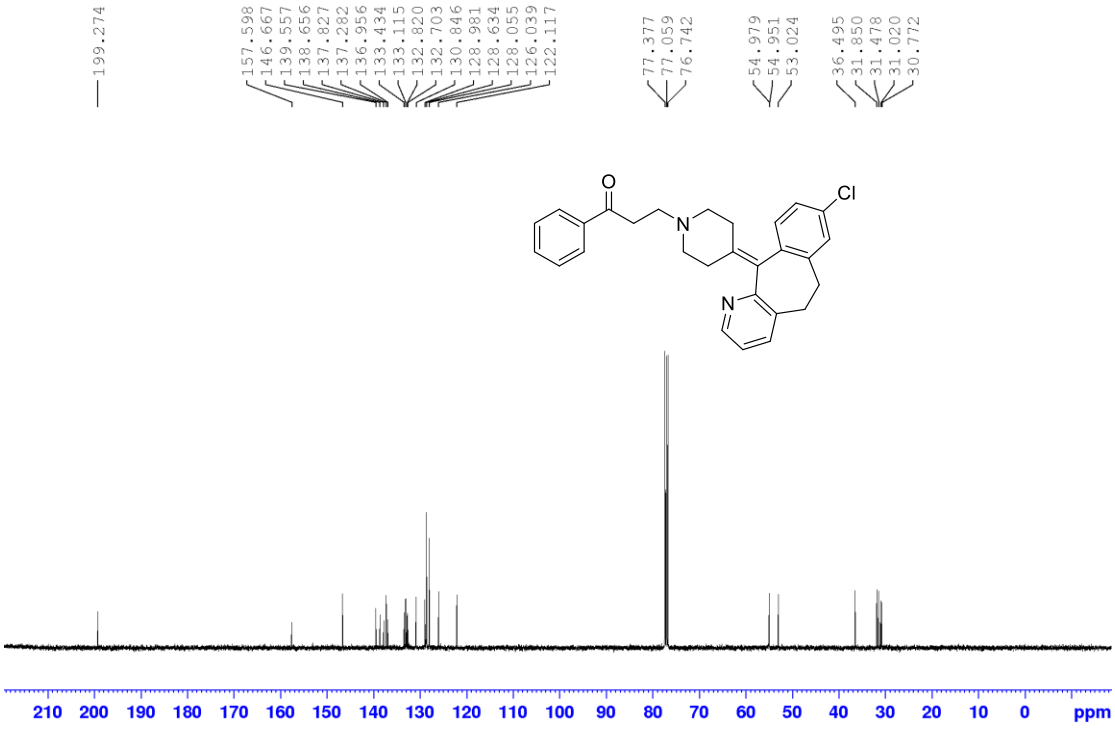
^{1}H NMR of compound **5aw**



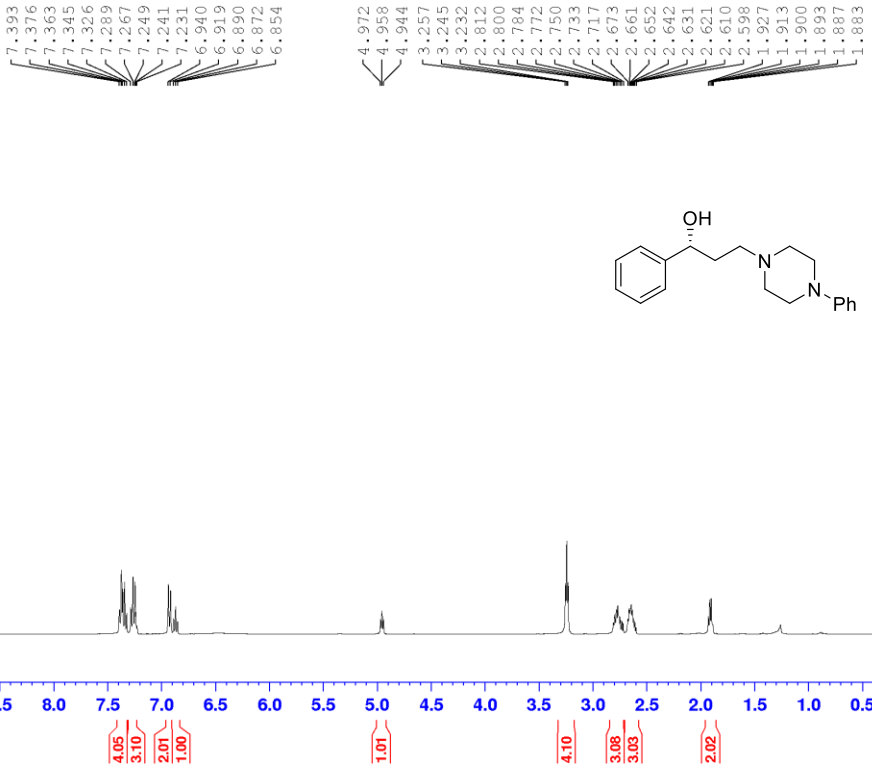
^{13}C NMR of compound **5aw**



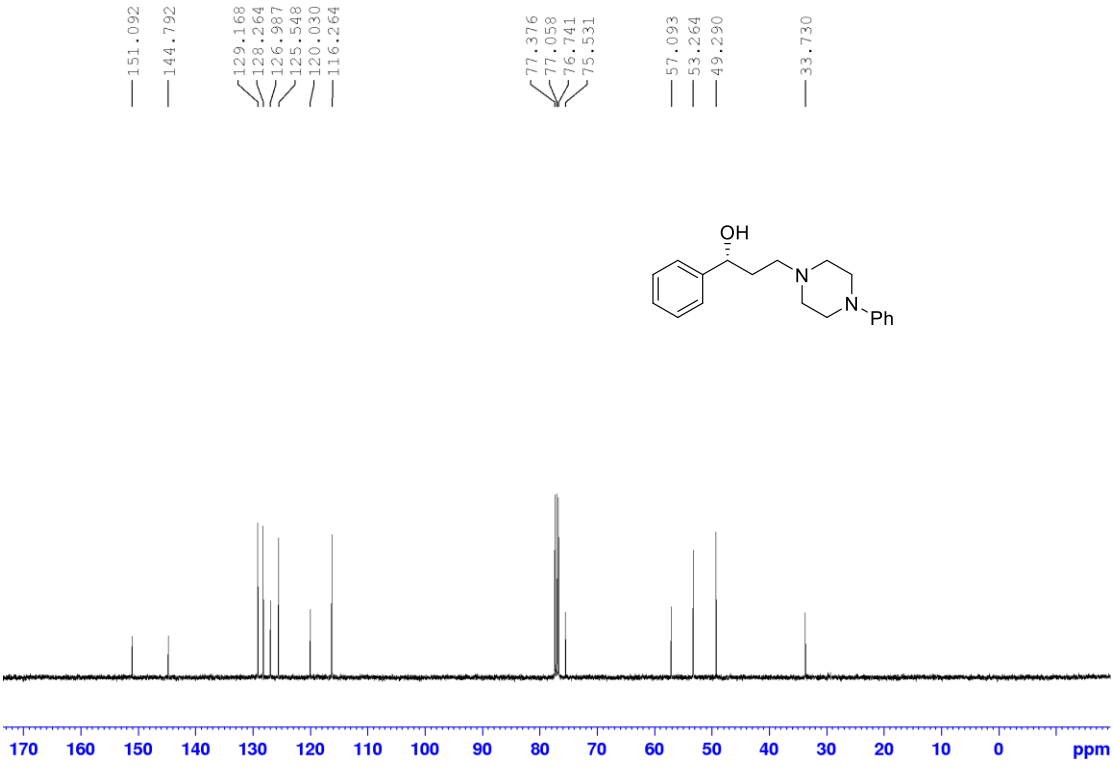
^{1}H NMR of compound **5ax**



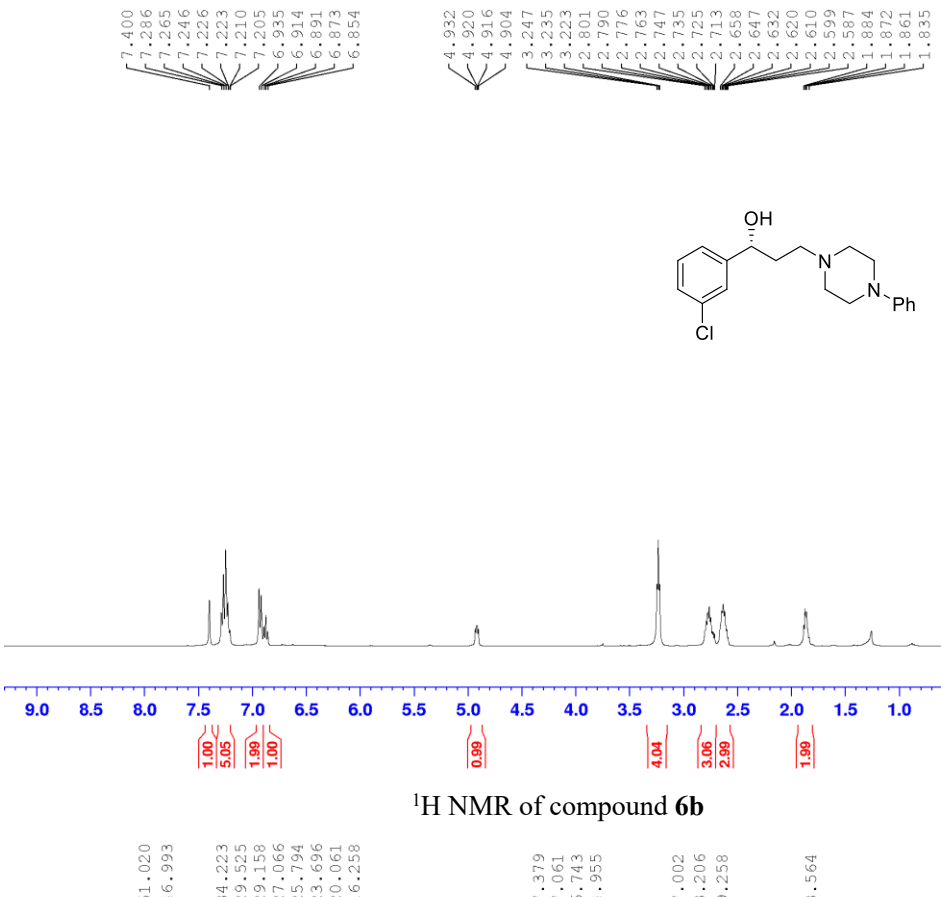
^{13}C NMR of compound **5ax**



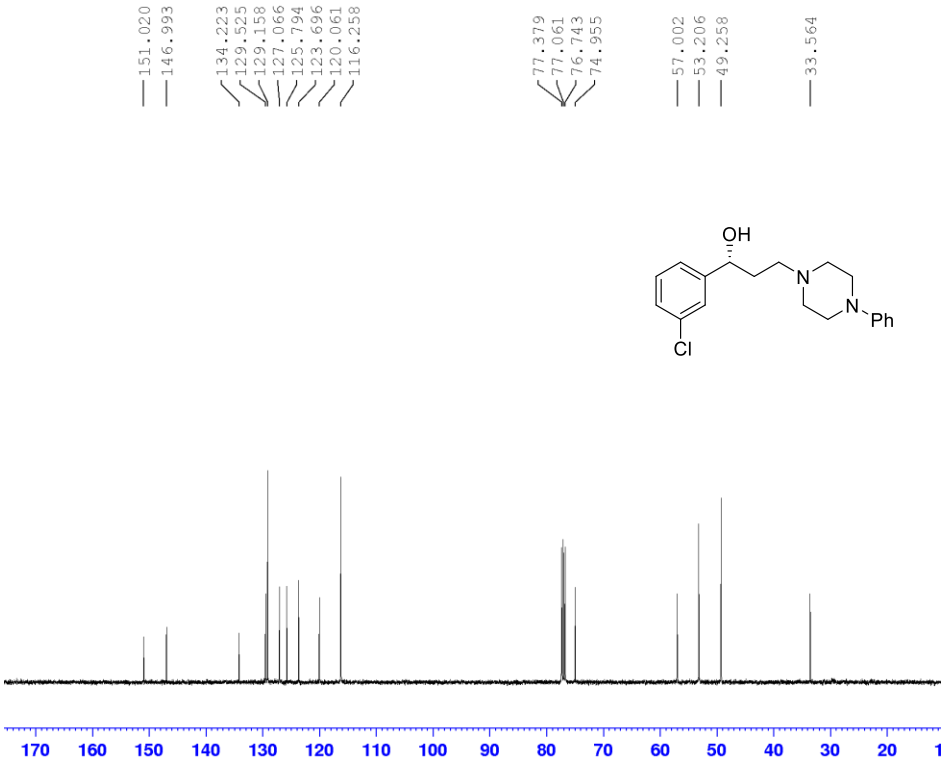
^{1}H NMR of compound **6a**



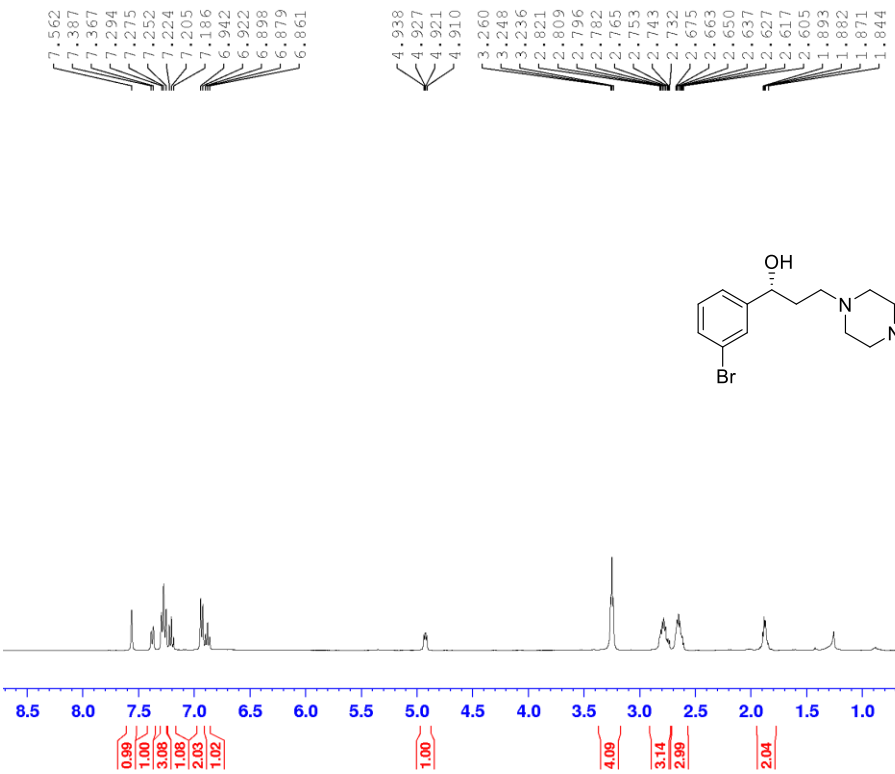
^{13}C NMR of compound **6a**



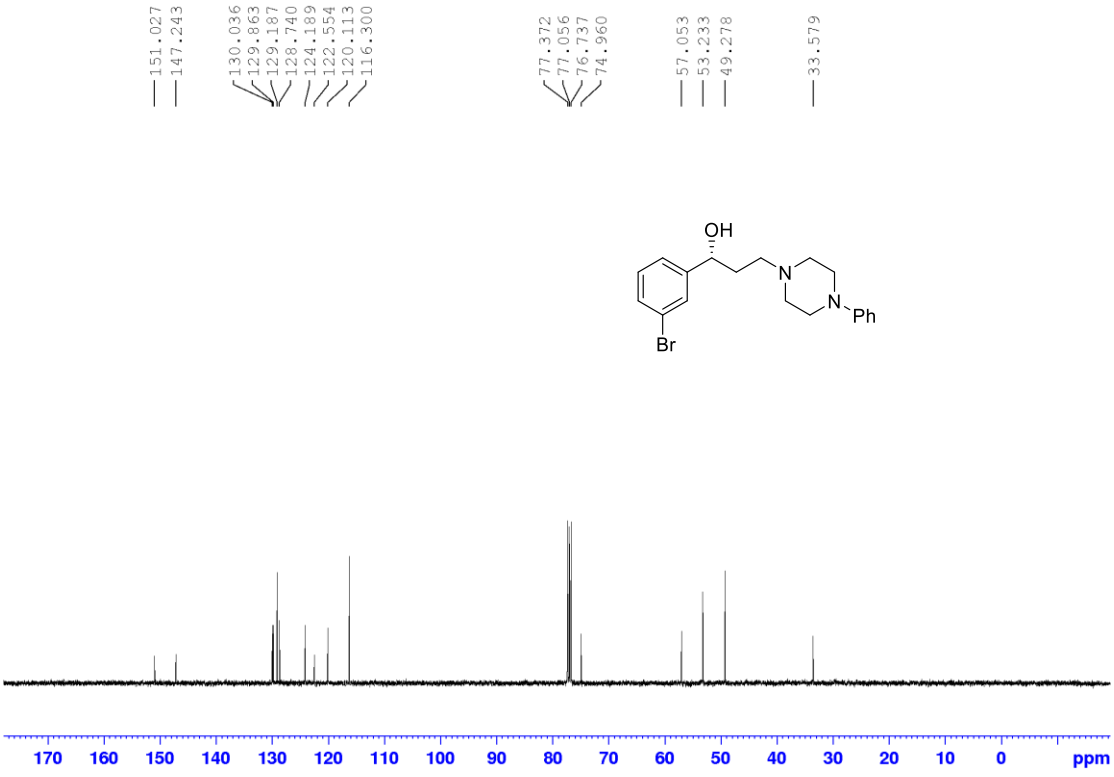
^{1}H NMR of compound **6b**



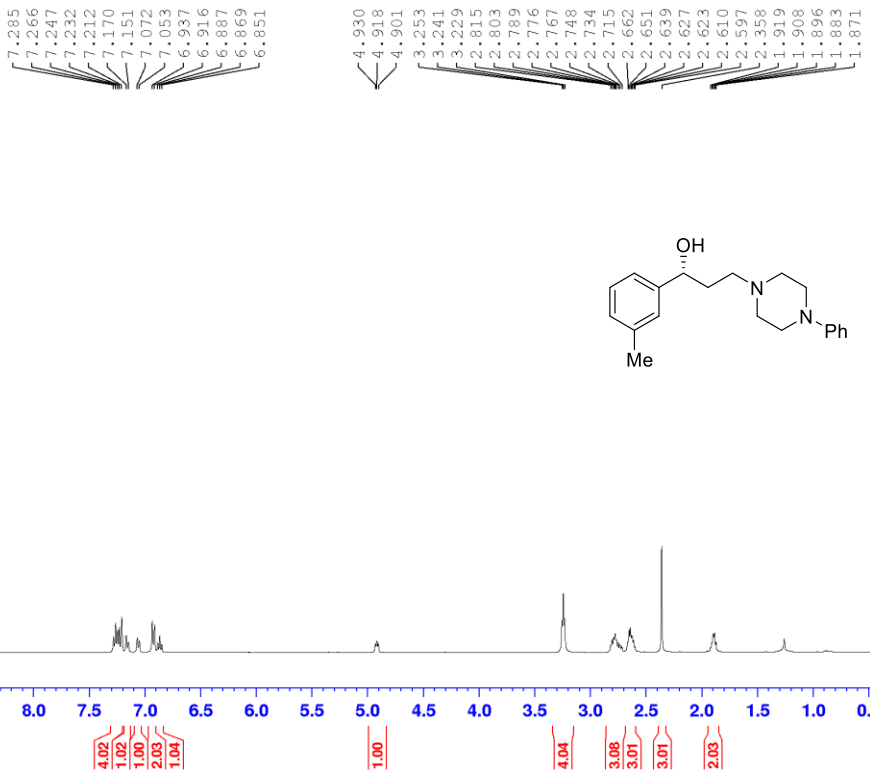
^{13}C NMR of compound **6b**



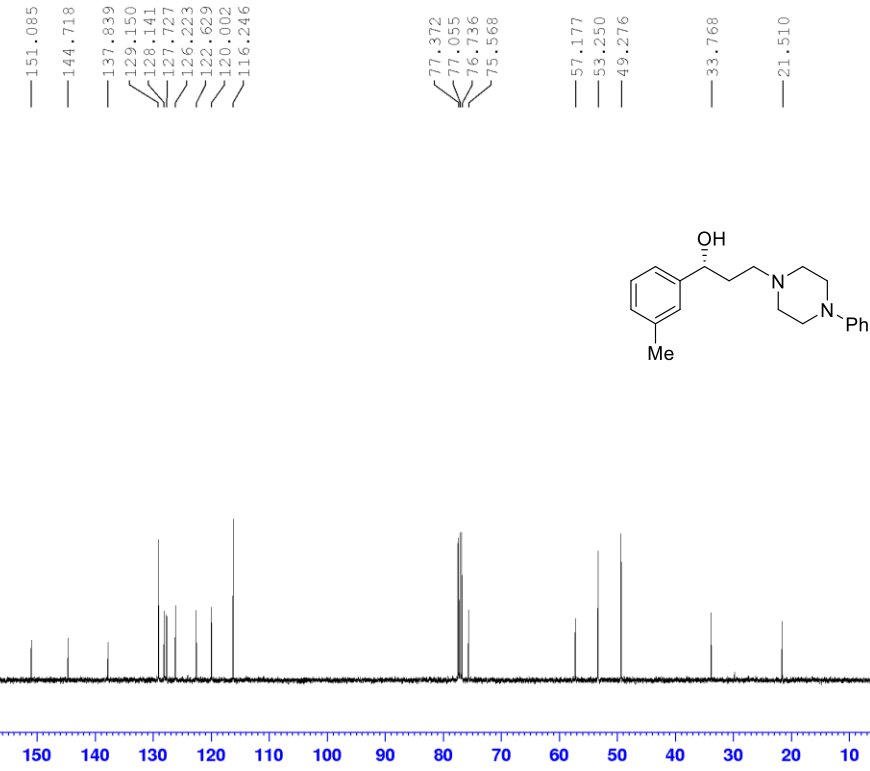
^{1}H NMR of compound **6c**



^{13}C NMR of compound **6c**



^{1}H NMR of compound **6d**



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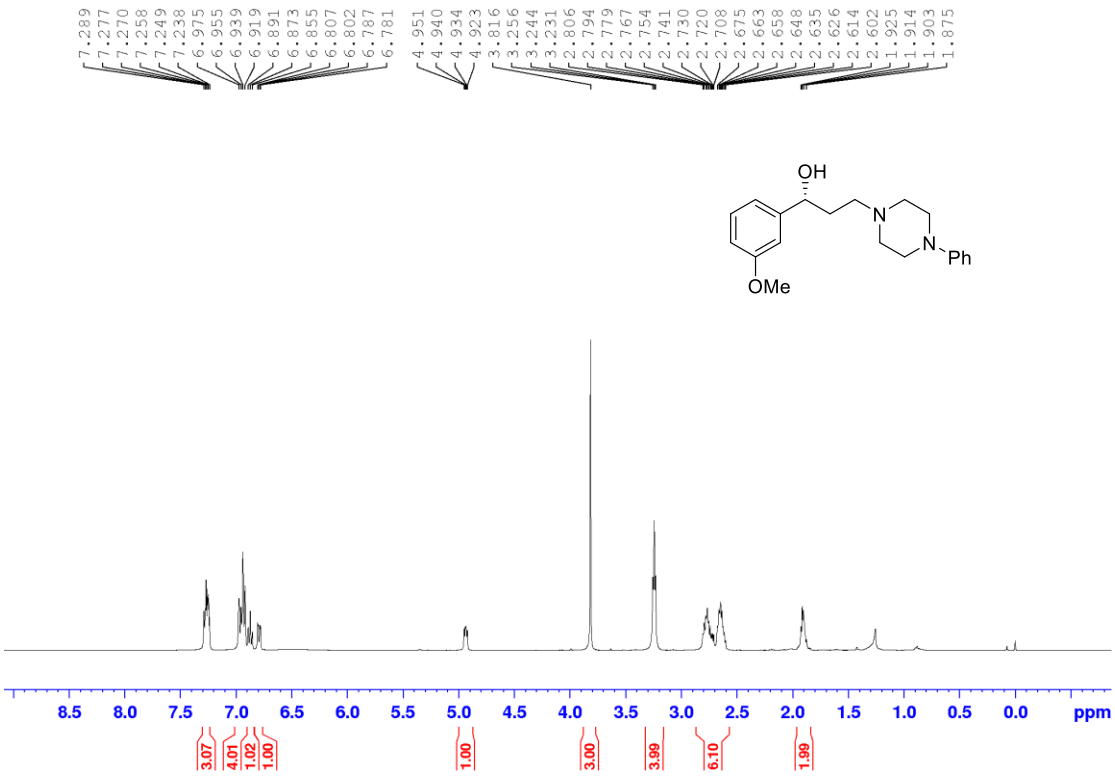
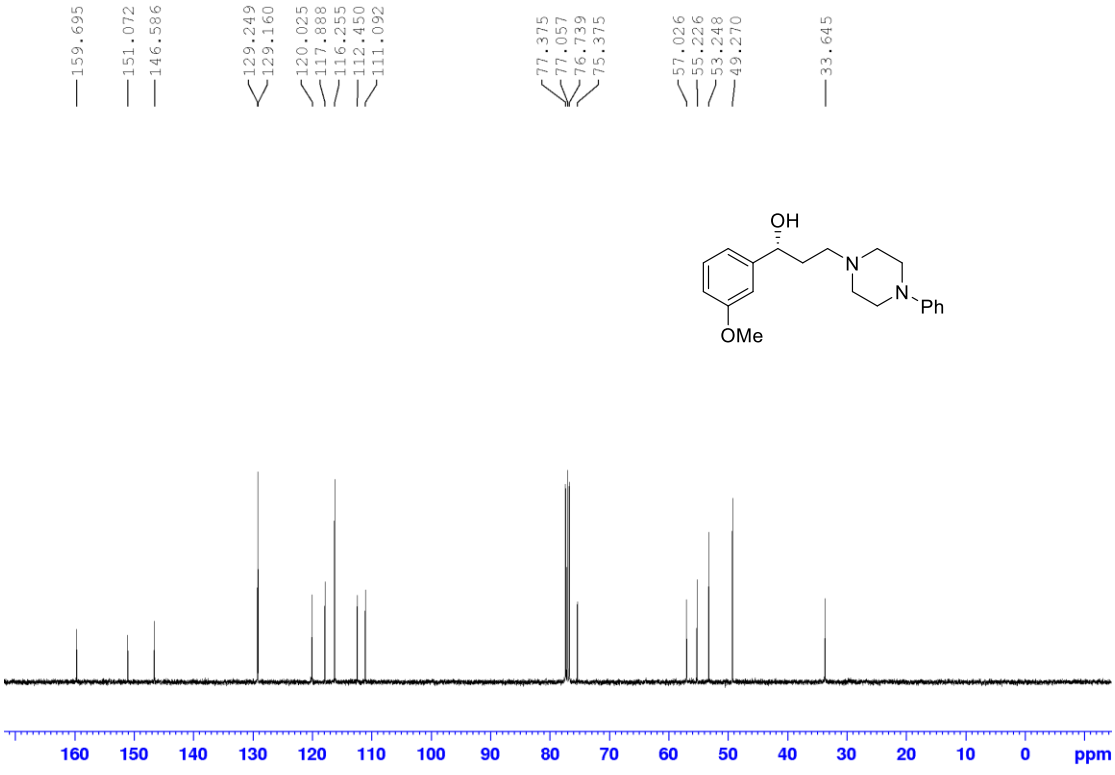


^{1}H NMR of compound **6e**



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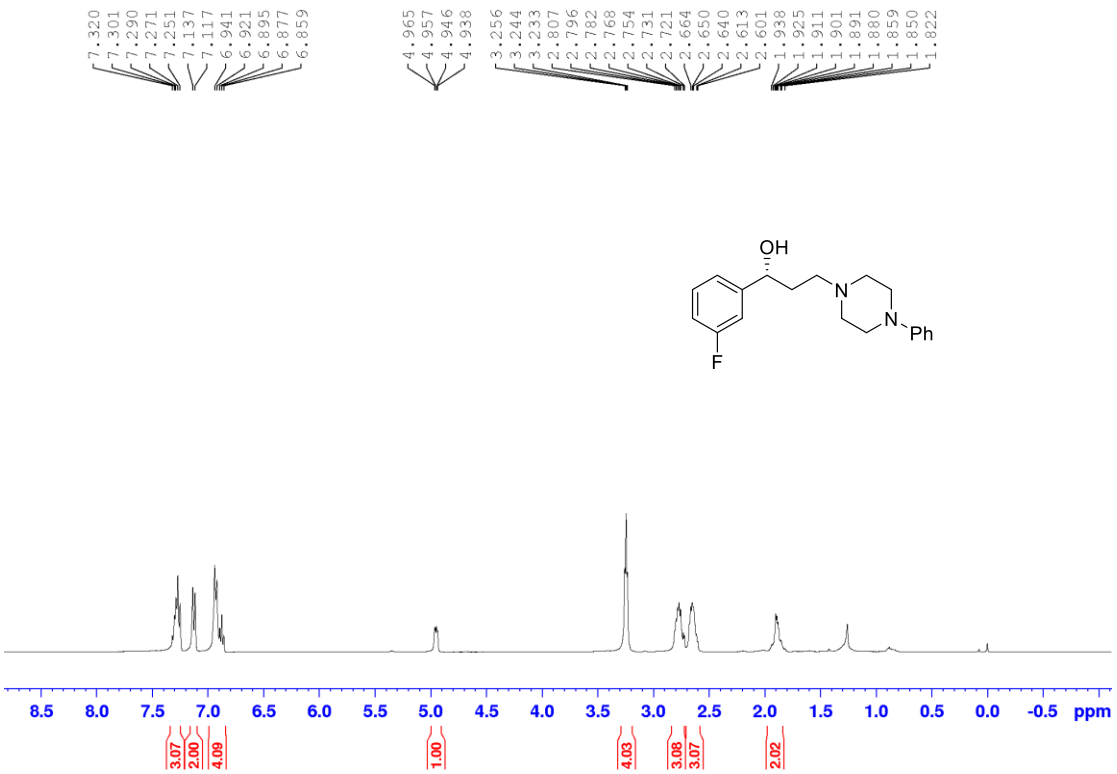
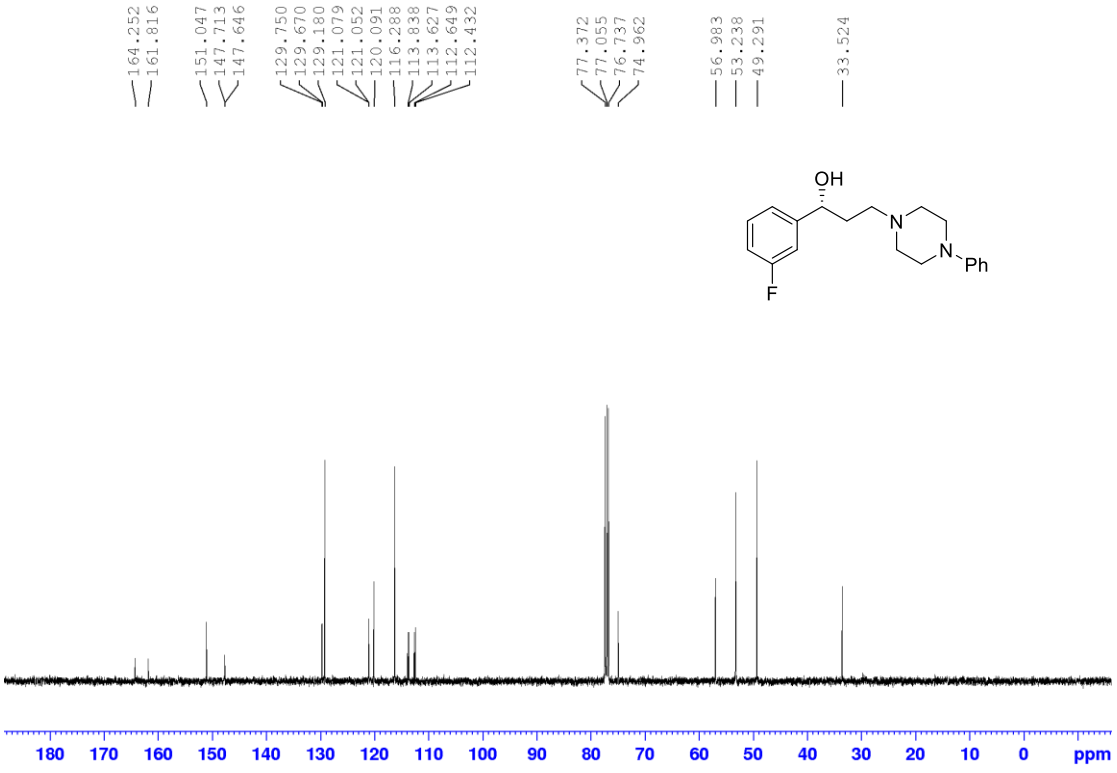
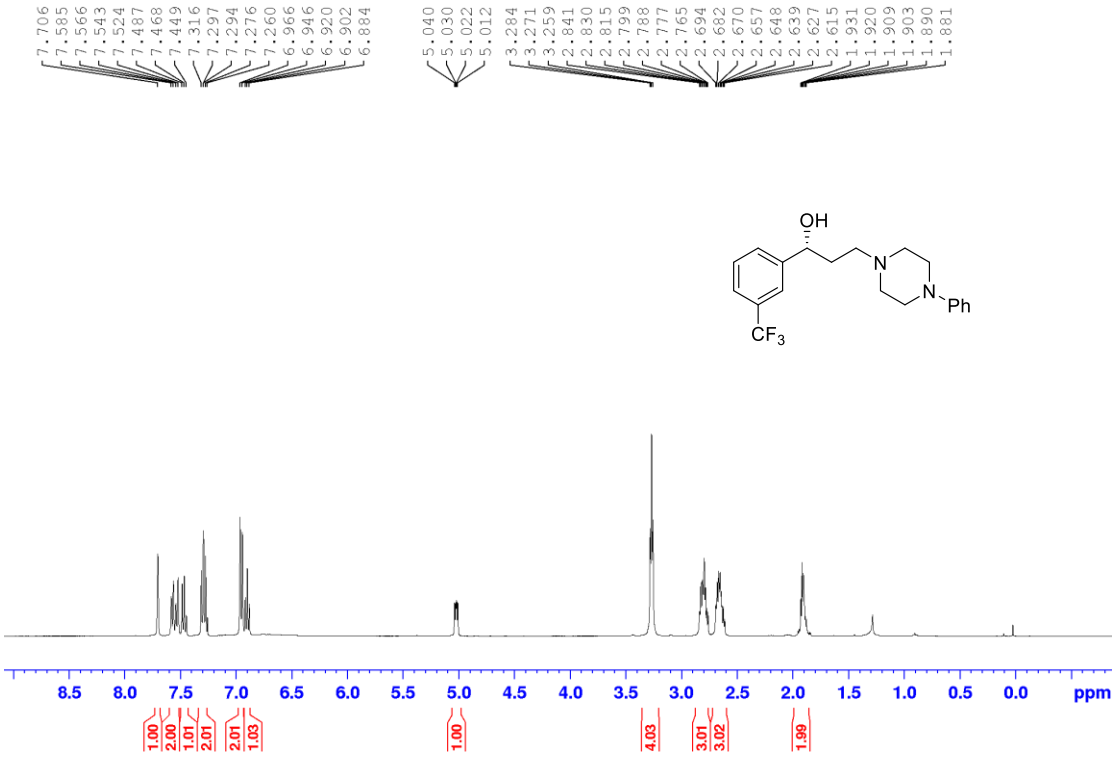


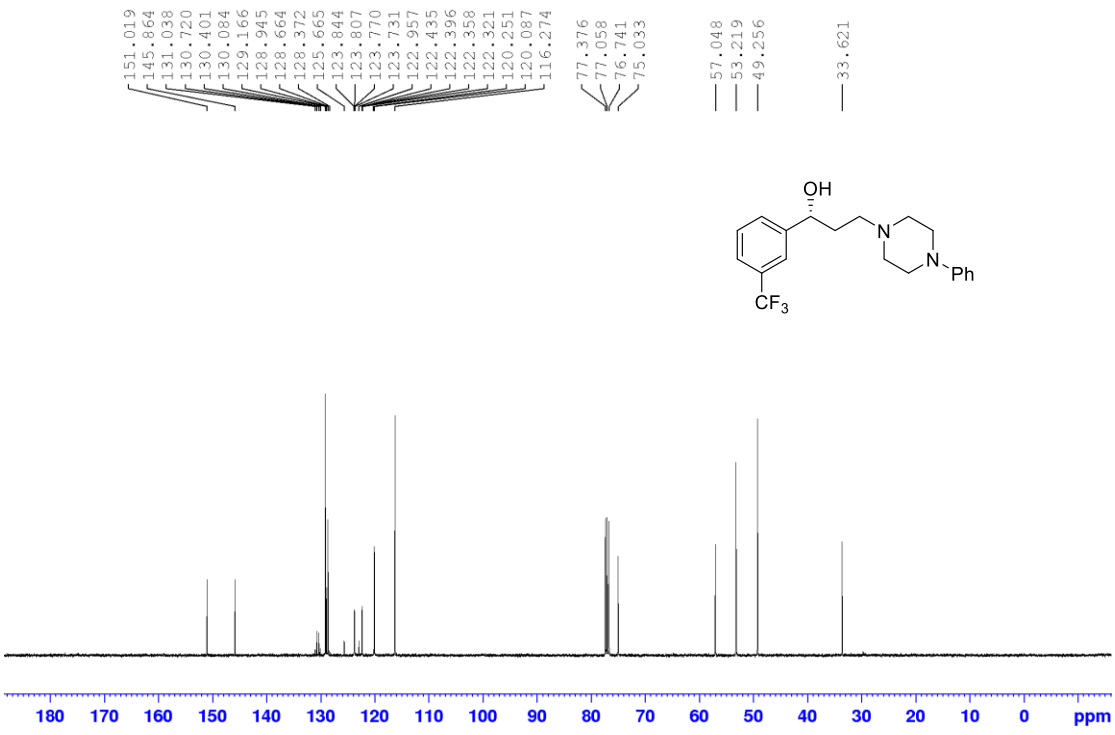
^{1}H NMR of compound **6f**



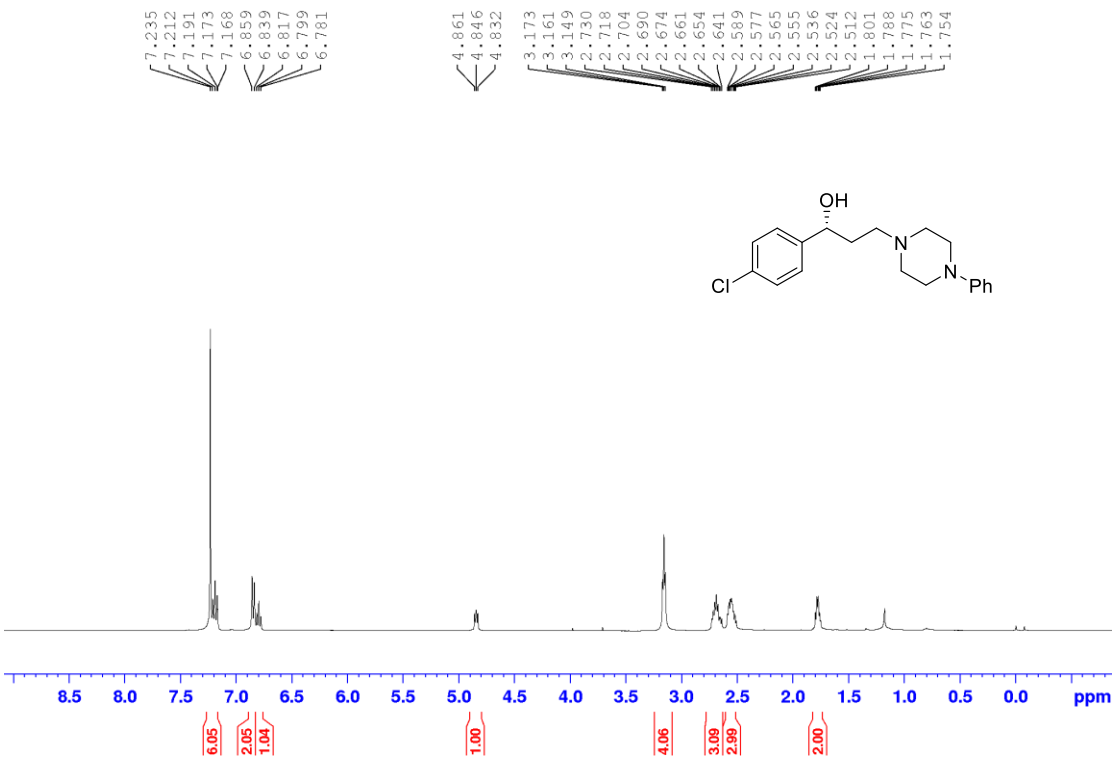
^{13}C NMR of compound **6f**



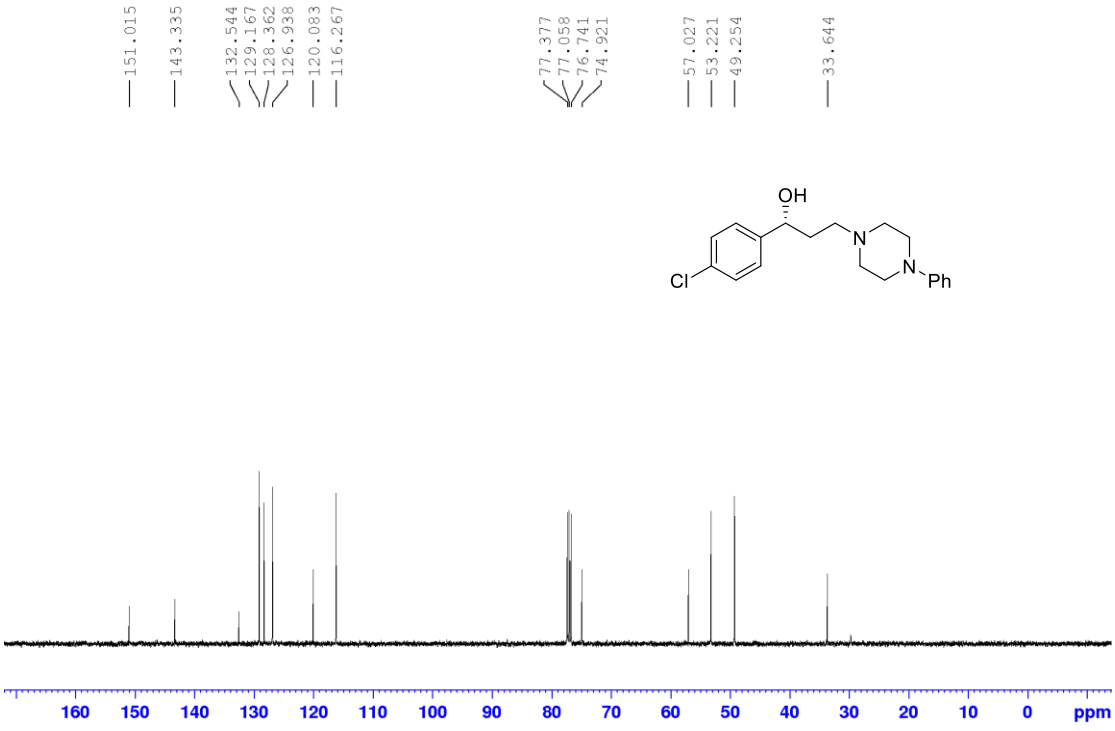
^{1}H NMR of compound **6g**



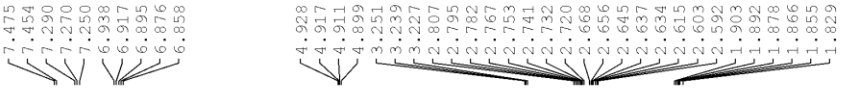
^{13}C NMR of compound **6g**

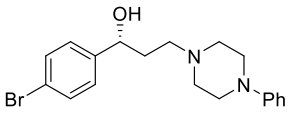


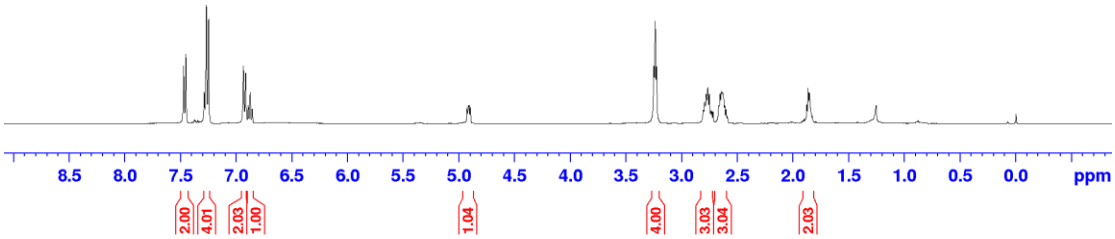
^{1}H NMR of compound **6h**



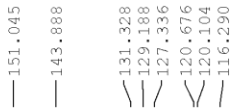
^{13}C NMR of compound **6h**

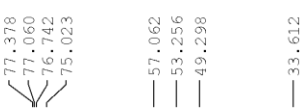


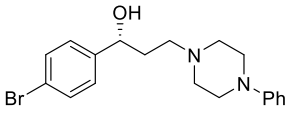


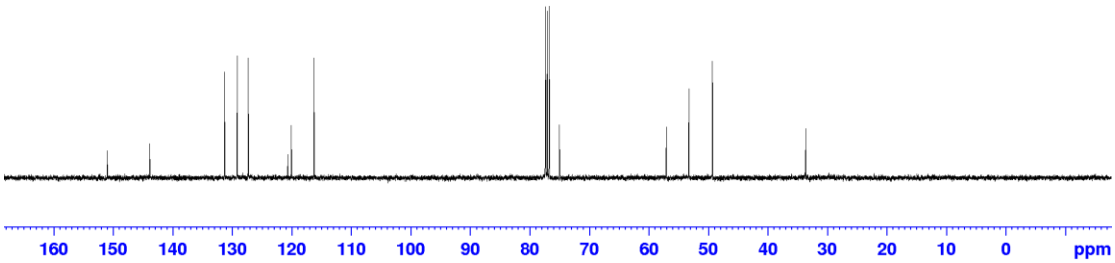


^{1}H NMR of compound **6i**

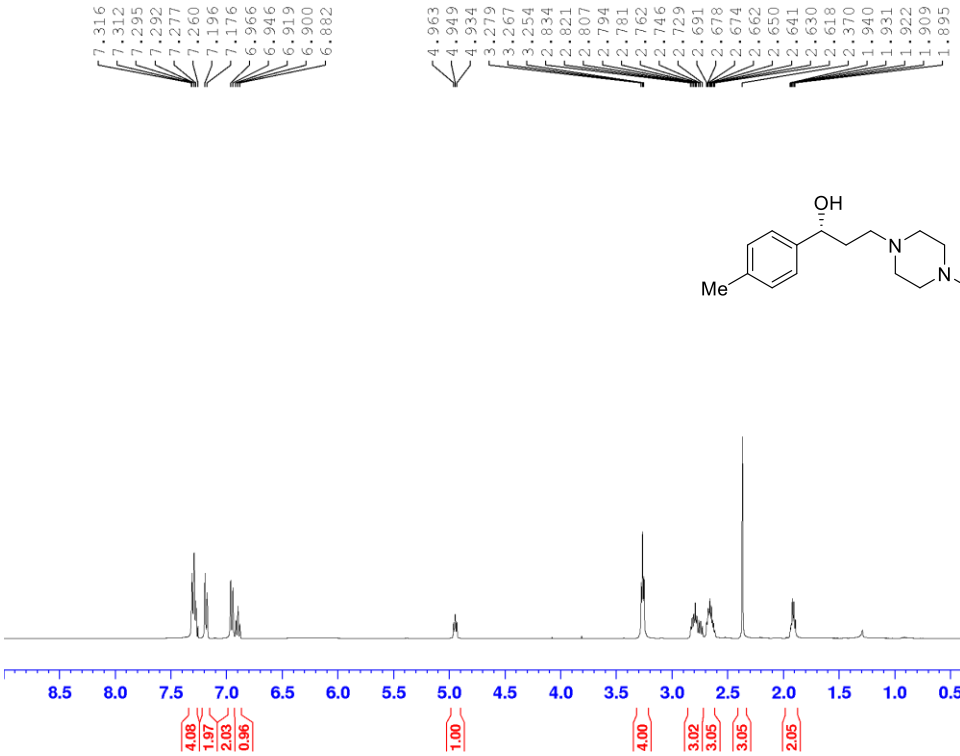




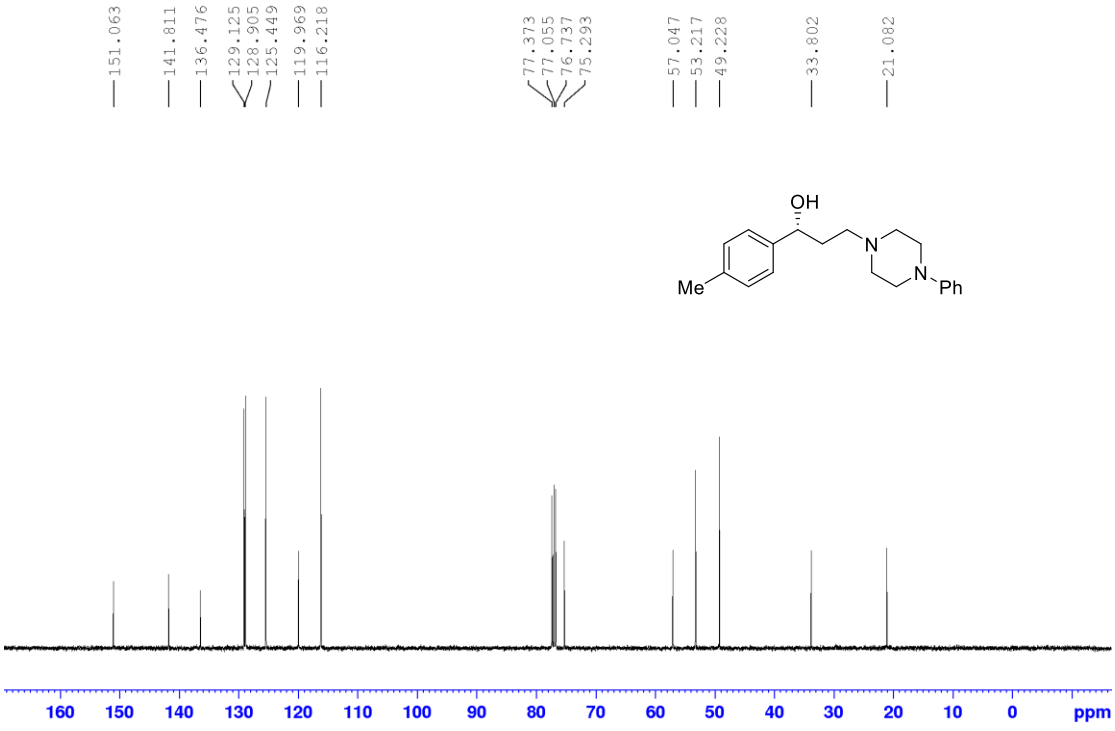




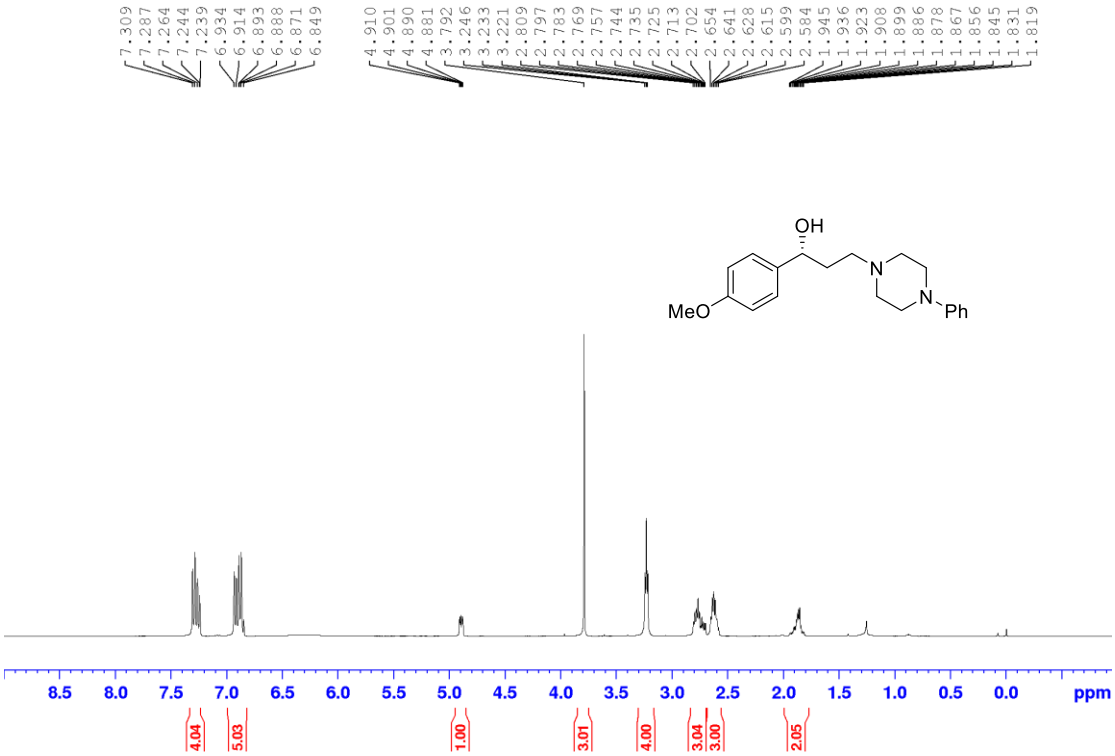
^{13}C NMR of compound **6i**



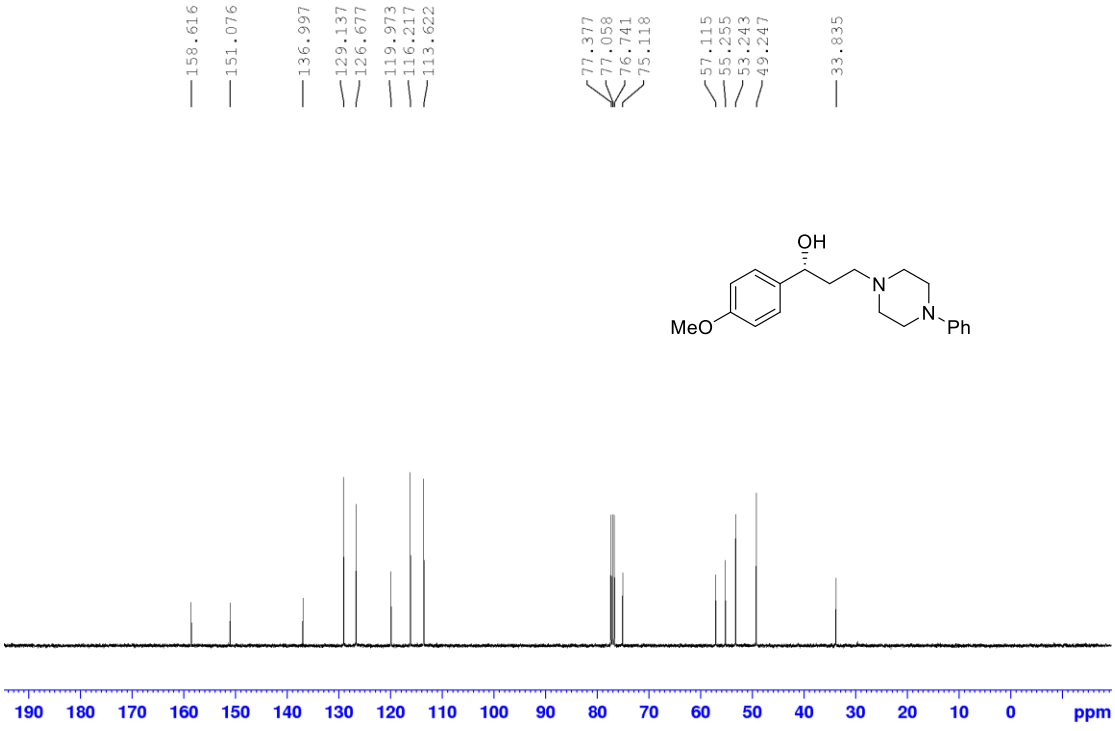
^{1}H NMR of compound **6j**



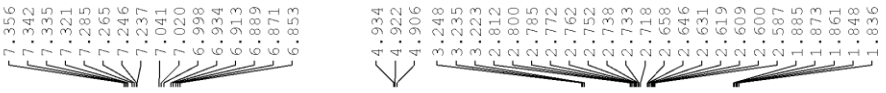
^{13}C NMR of compound **6j**

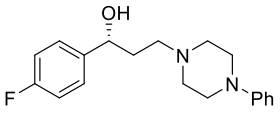


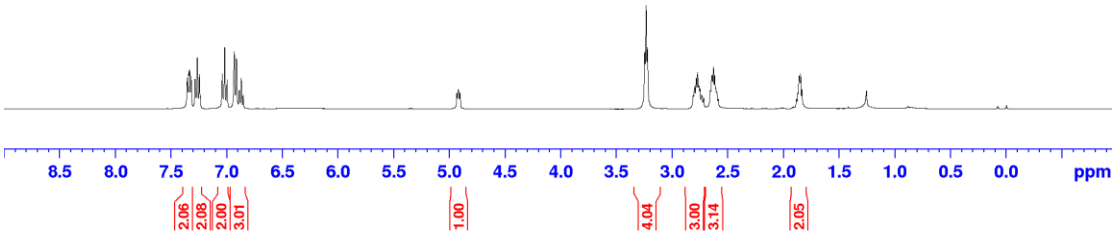
^{1}H NMR of compound **6k**



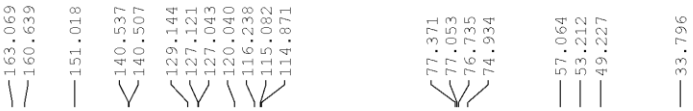
^{13}C NMR of compound **6k**

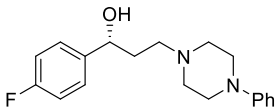


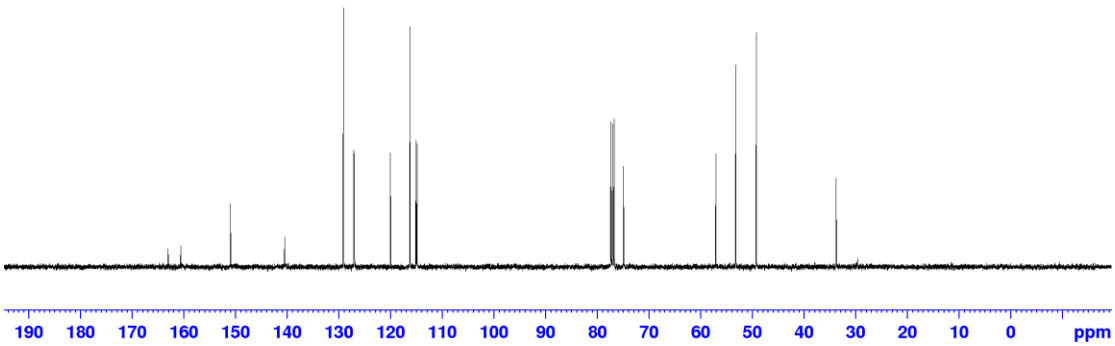




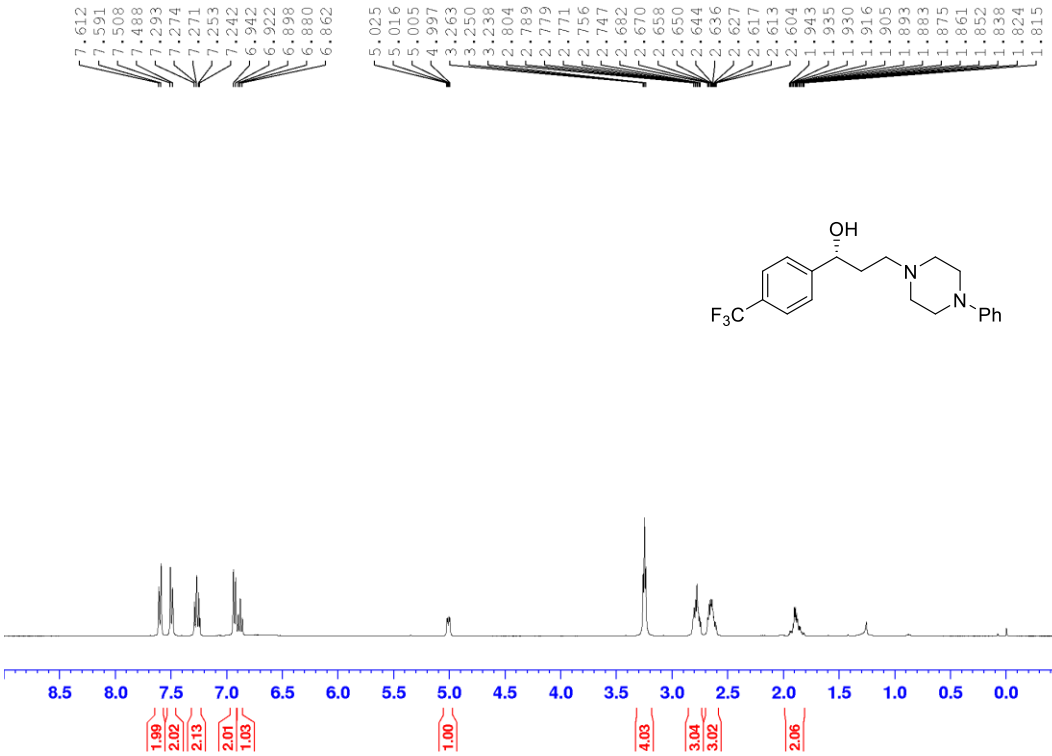
^{1}H NMR of compound **6l**



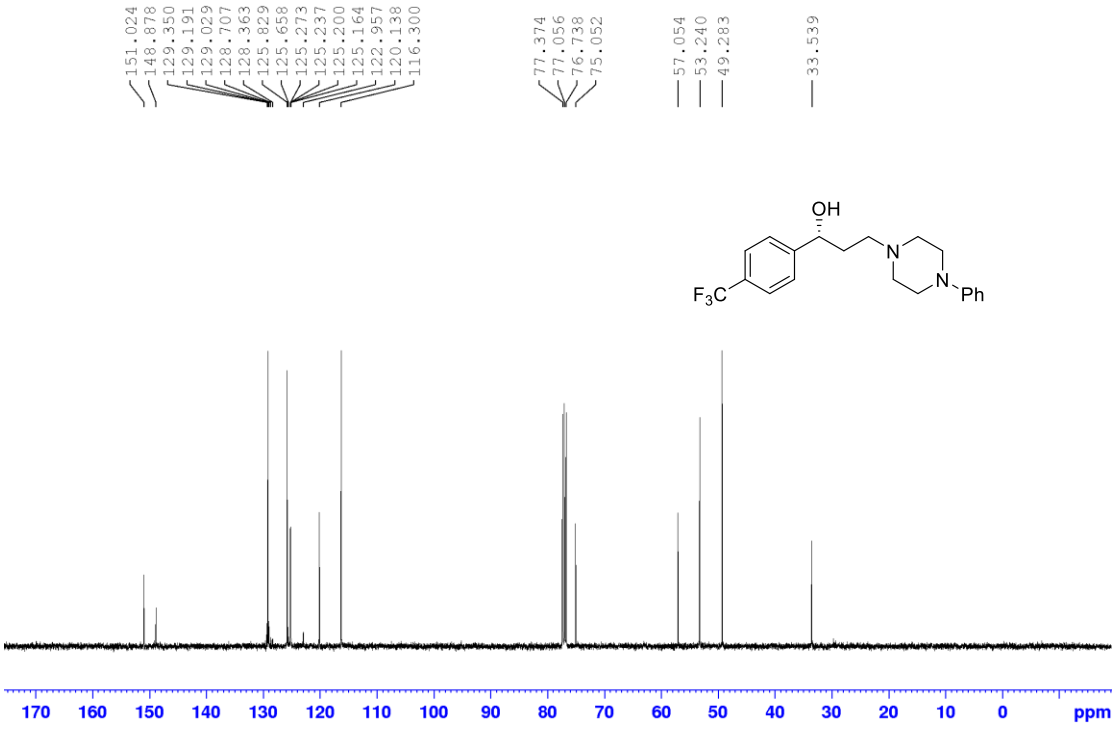




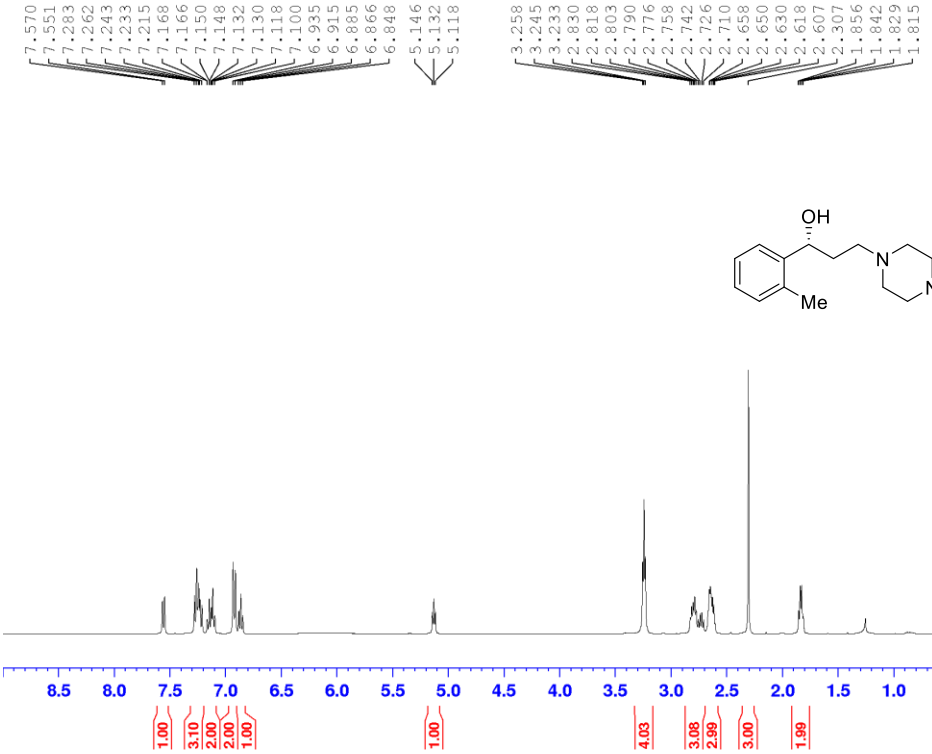
^{13}C NMR of compound **6l**



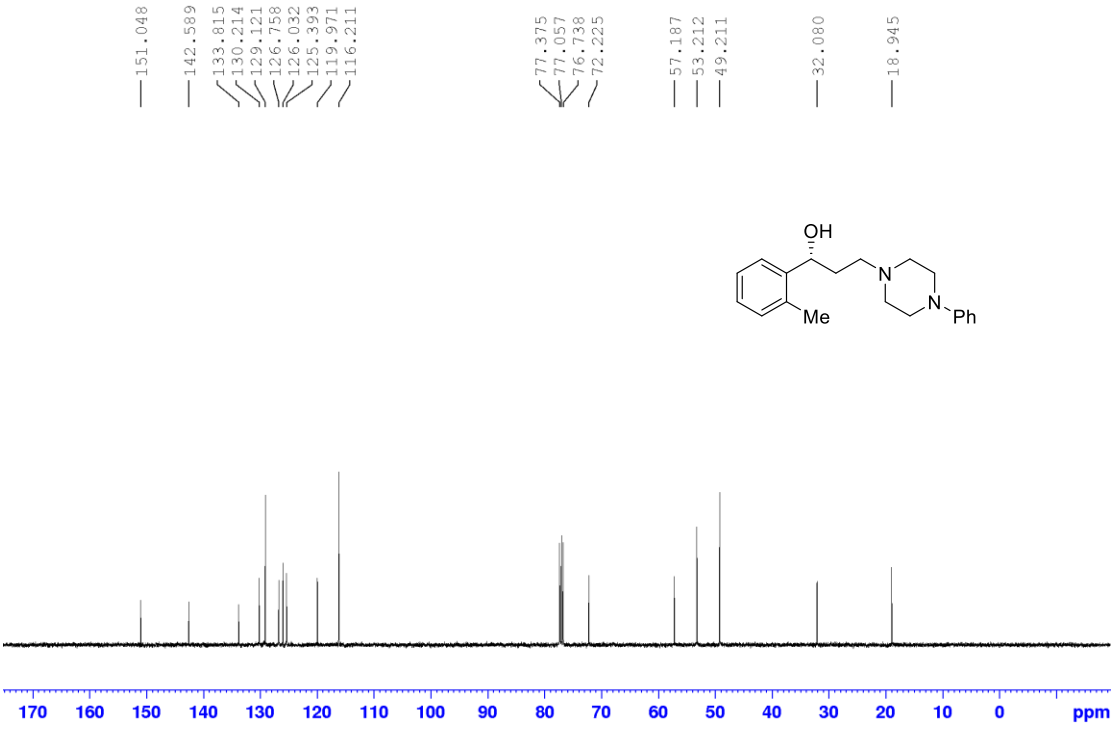
^{1}H NMR of compound **6m**



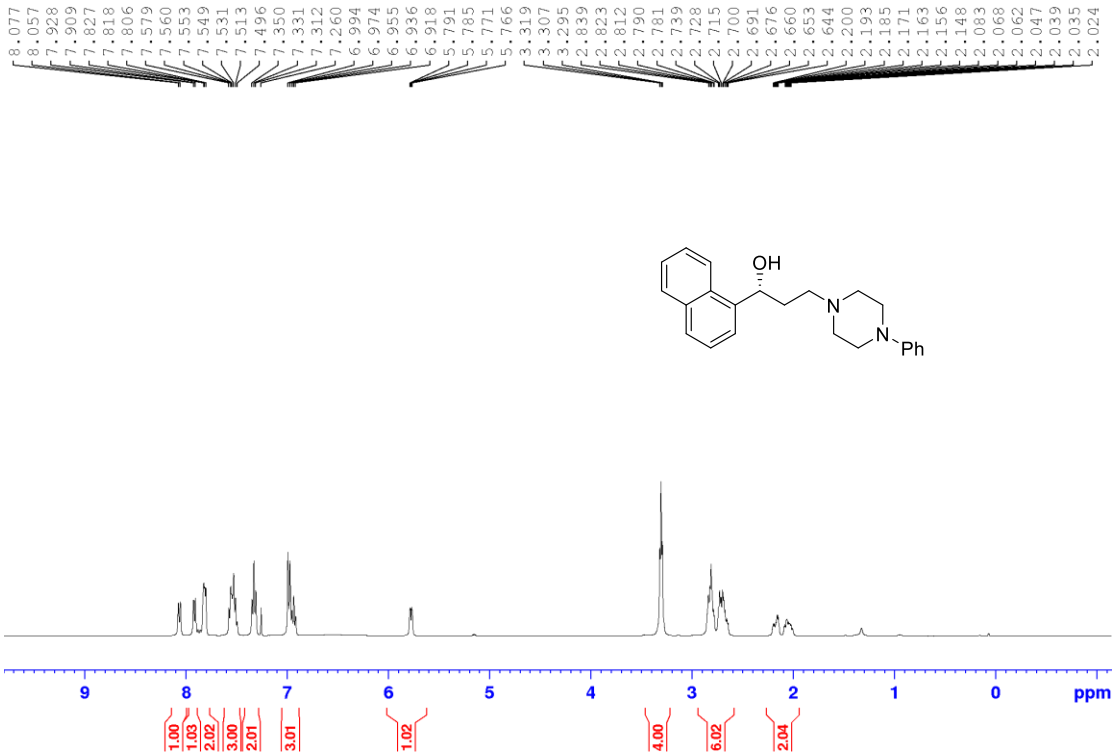
^{13}C NMR of compound **6m**



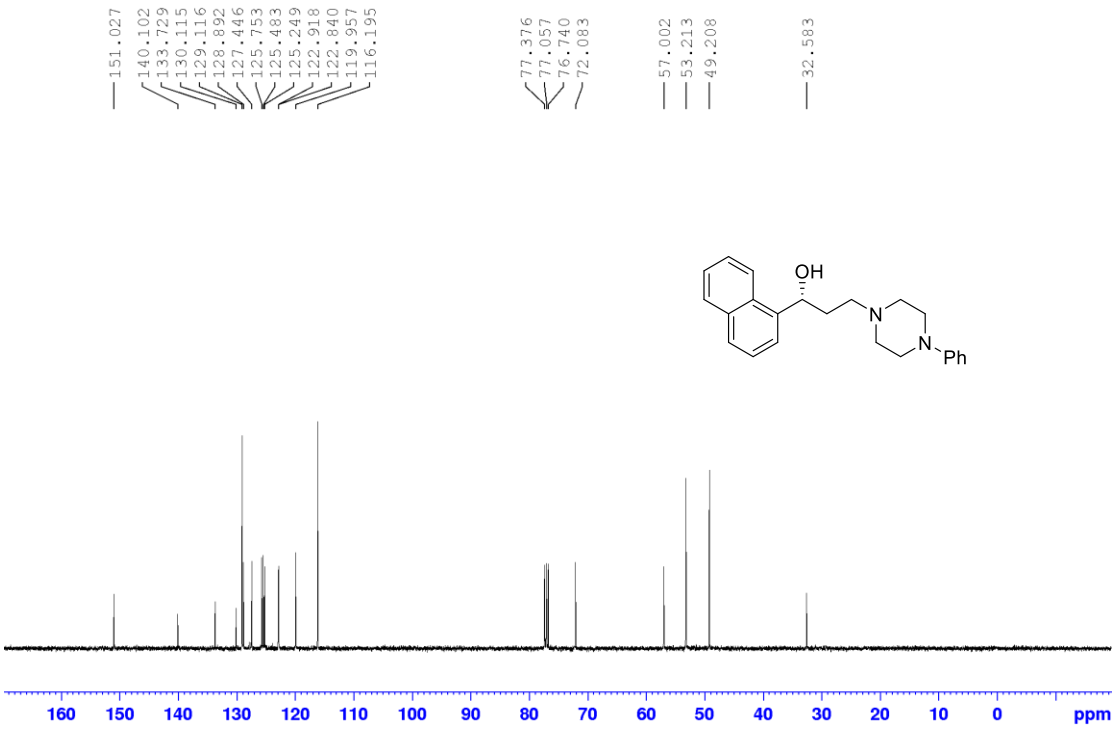
^{1}H NMR of compound **6n**



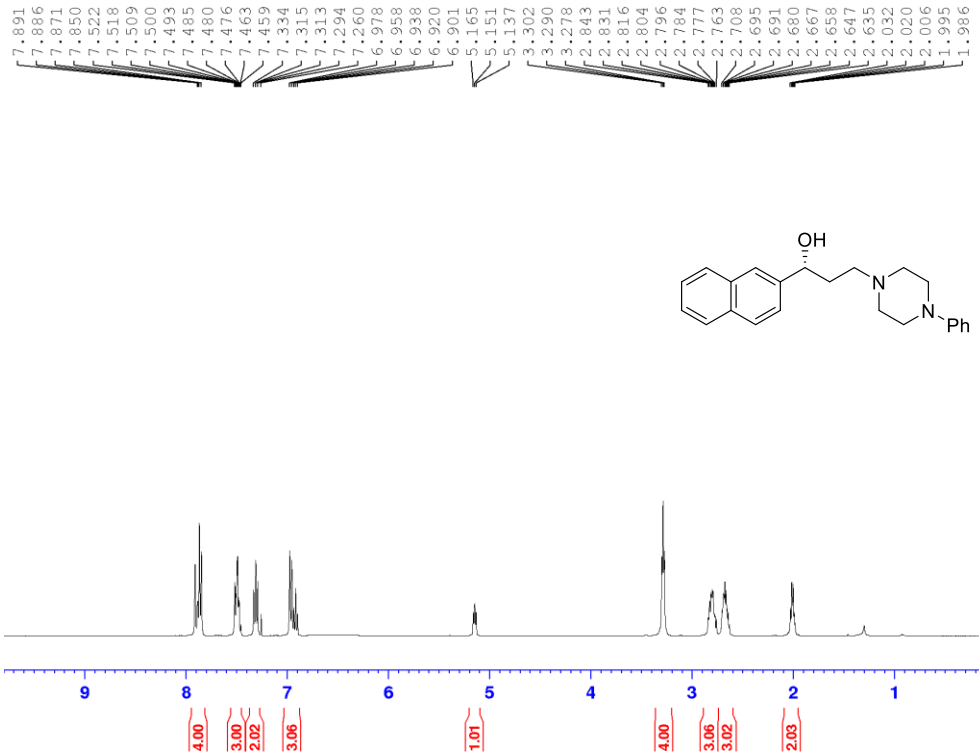
^{13}C NMR of compound **6n**



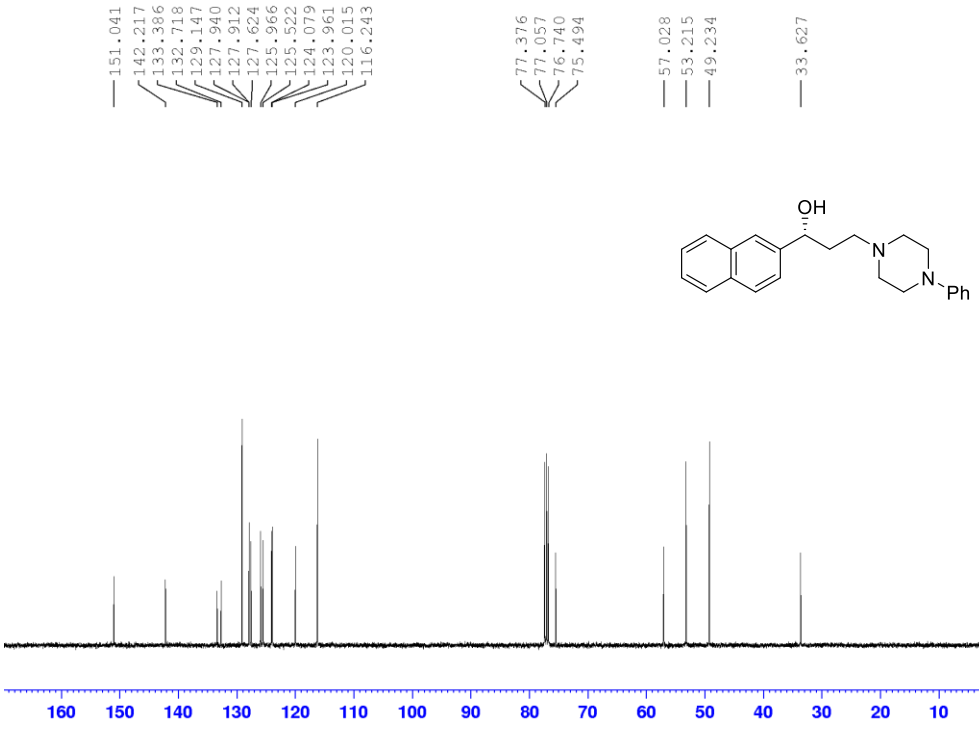
^{1}H NMR of compound **6o**



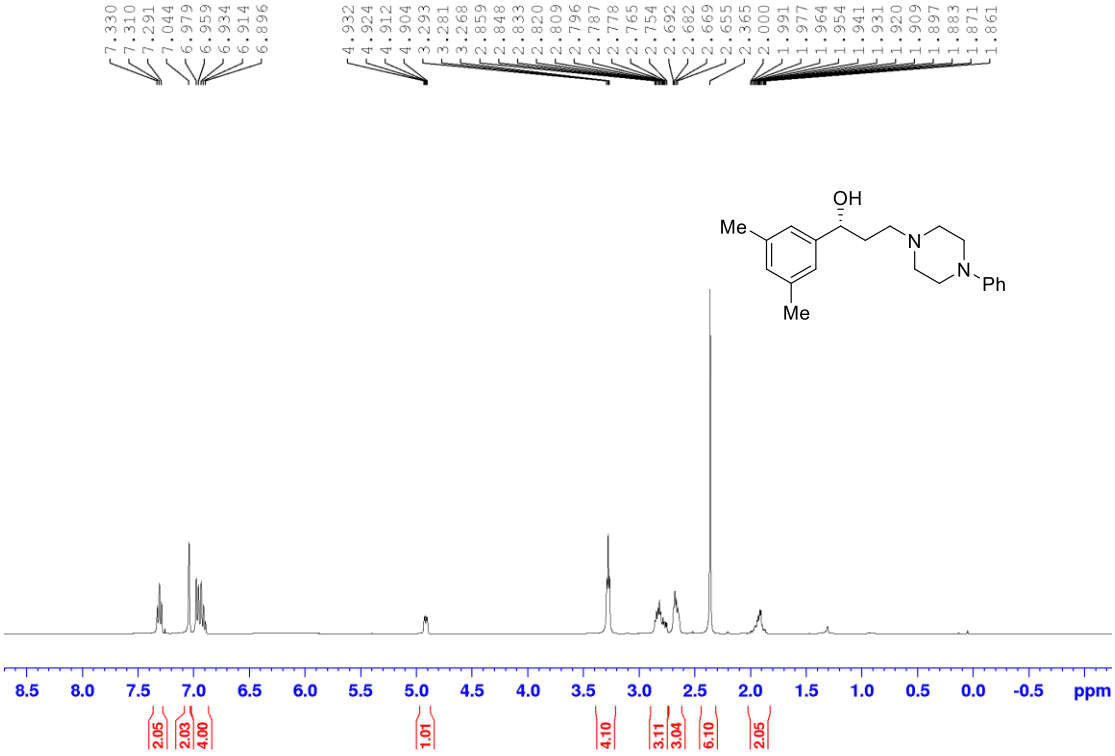
^{13}C NMR of compound **6o**



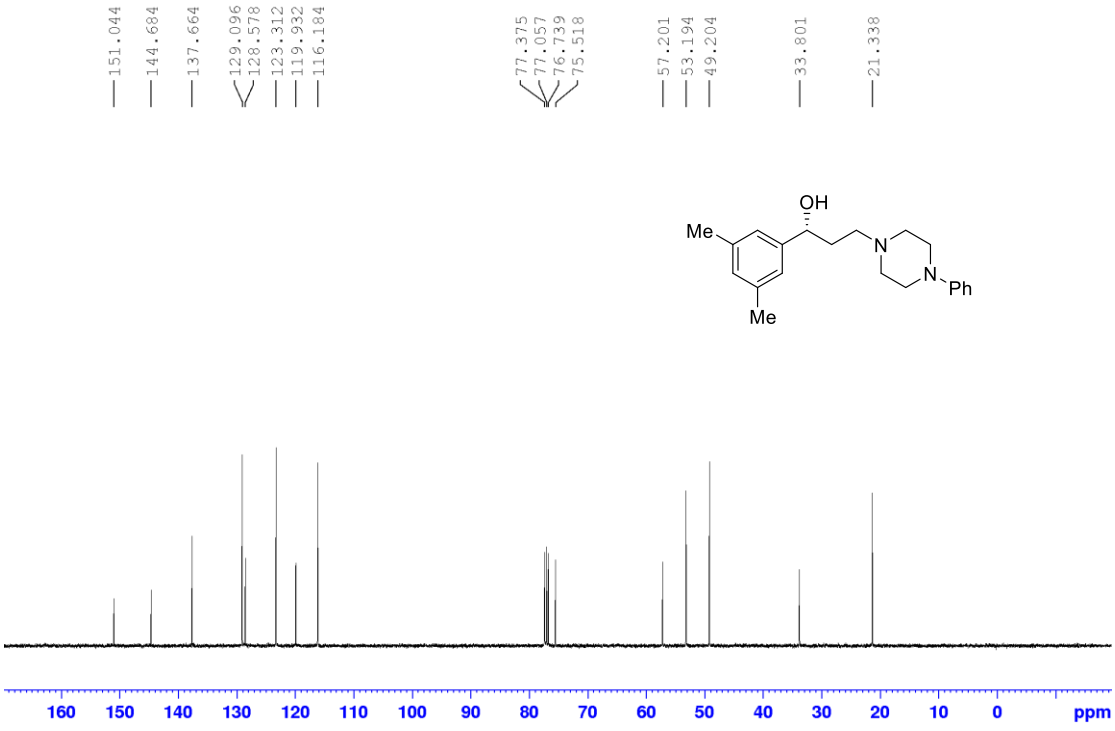
^{1}H NMR of compound **6p**



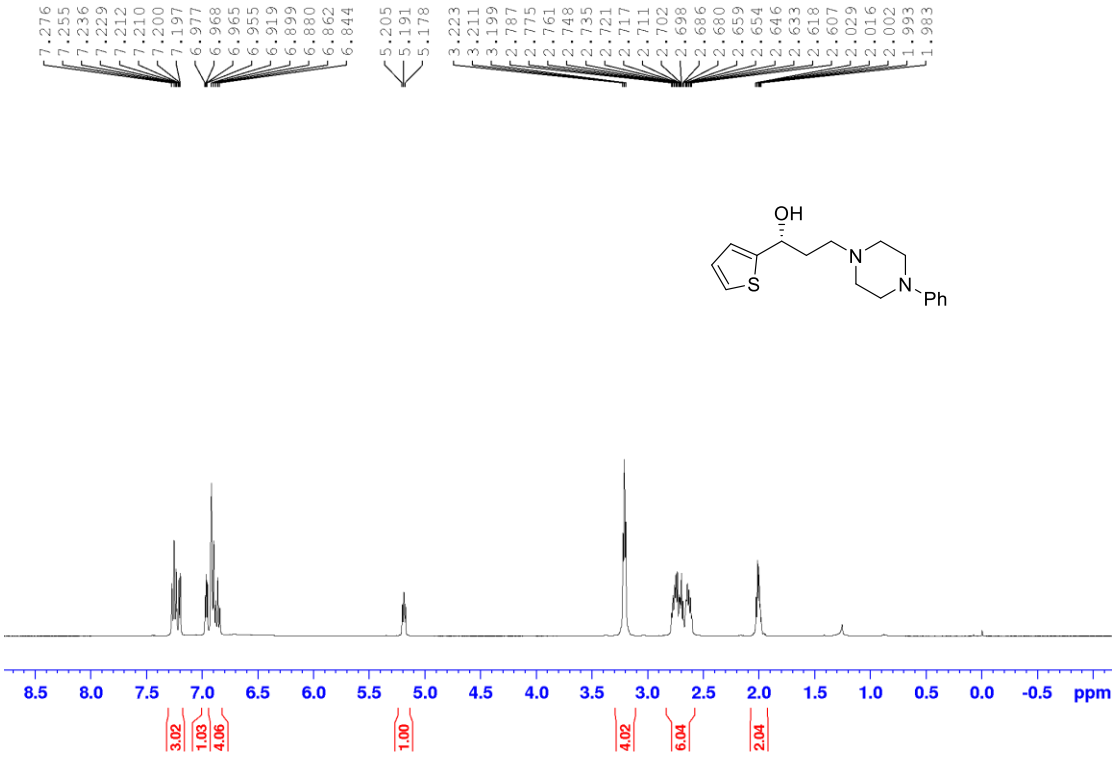
^{13}C NMR of compound **6p**



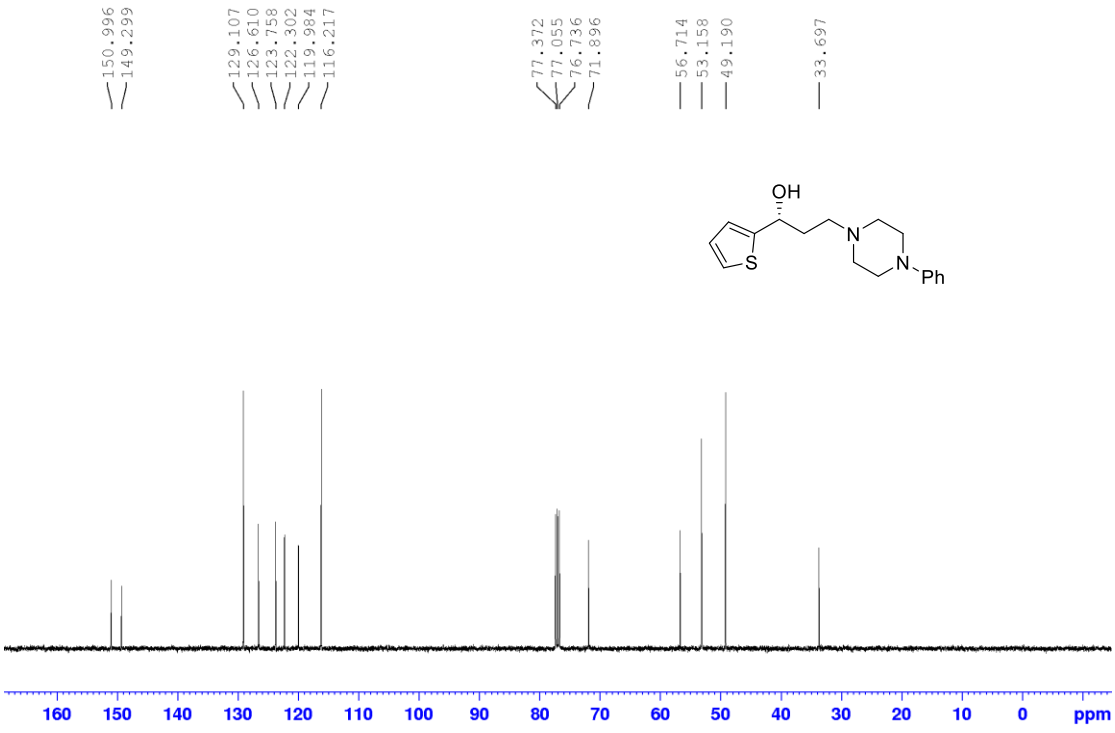
^{1}H NMR of compound **6q**



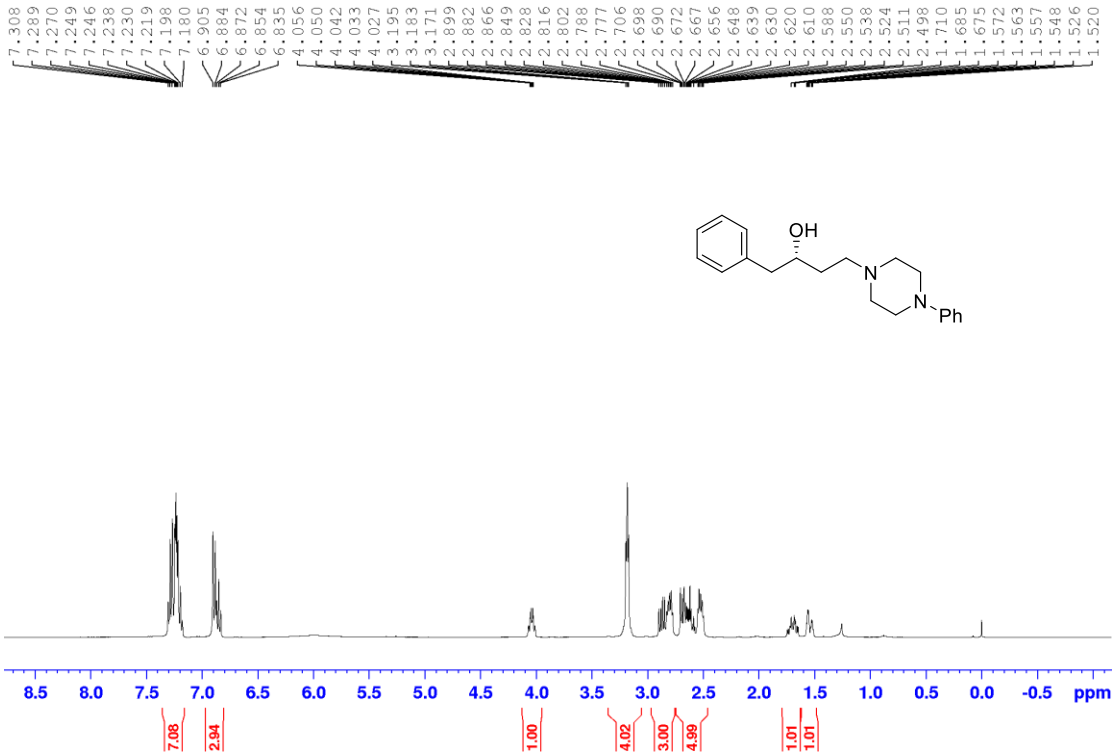
^{13}C NMR of compound **6q**



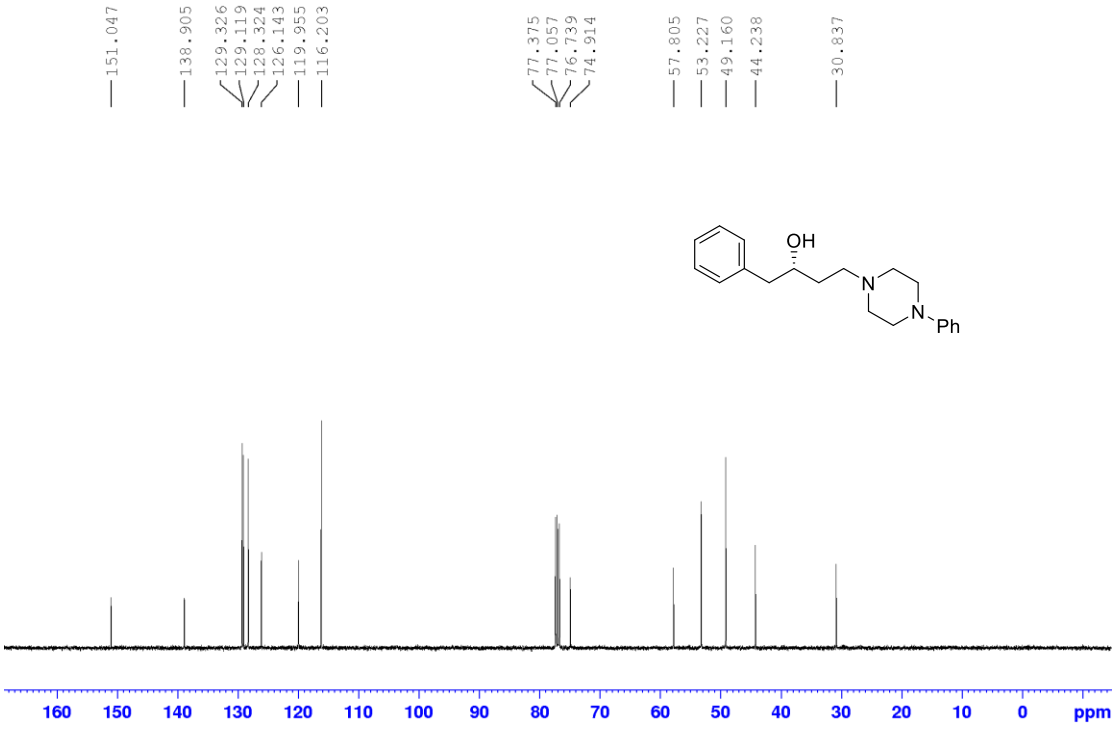
^{1}H NMR of compound **6r**



^{13}C NMR of compound **6r**



^{1}H NMR of compound **6s**



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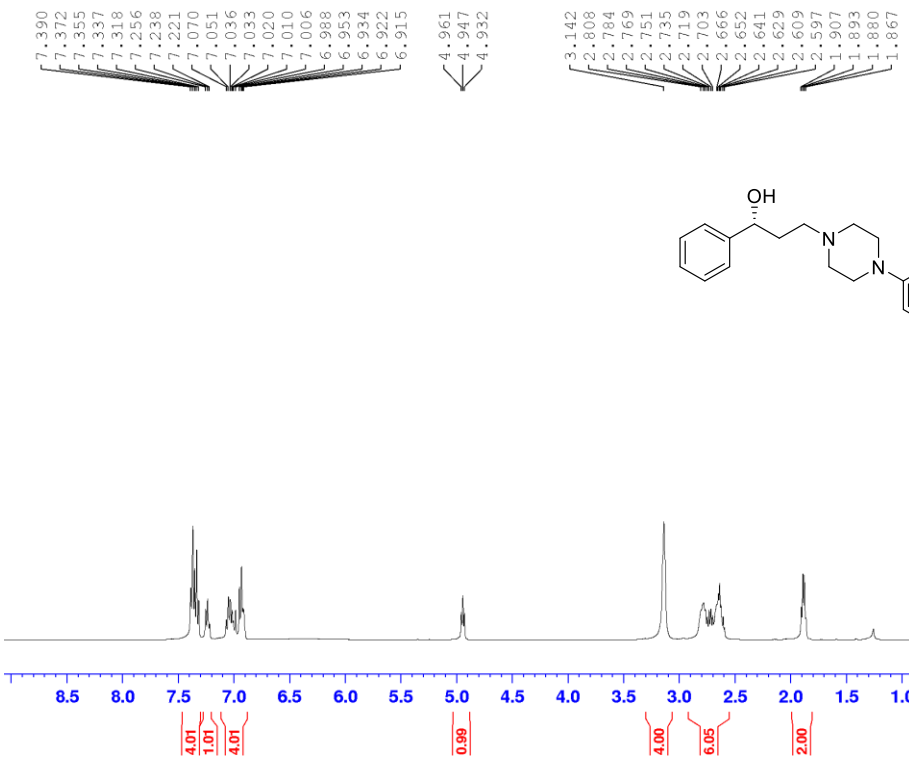

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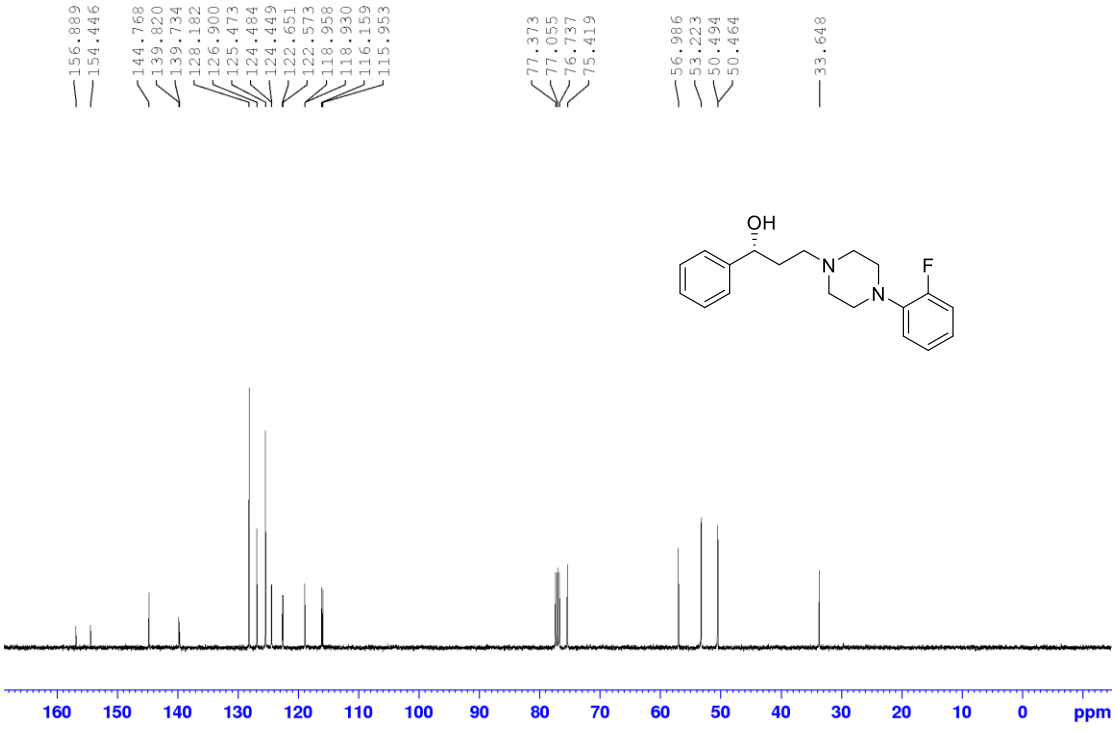
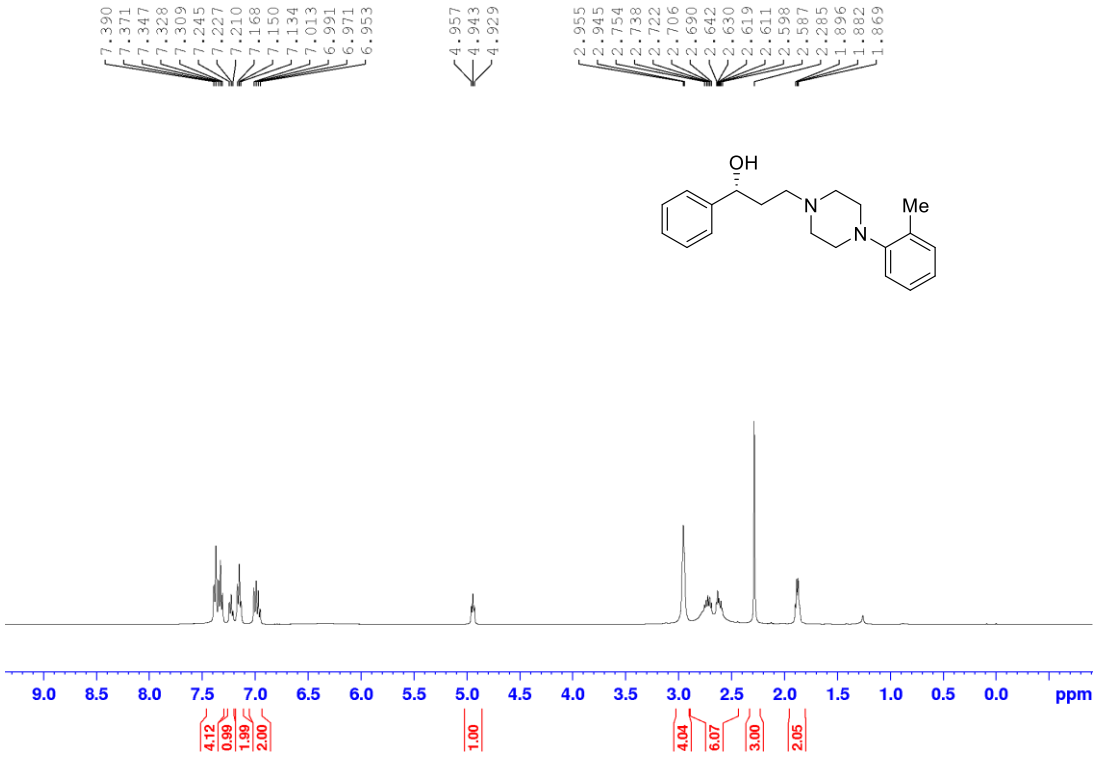
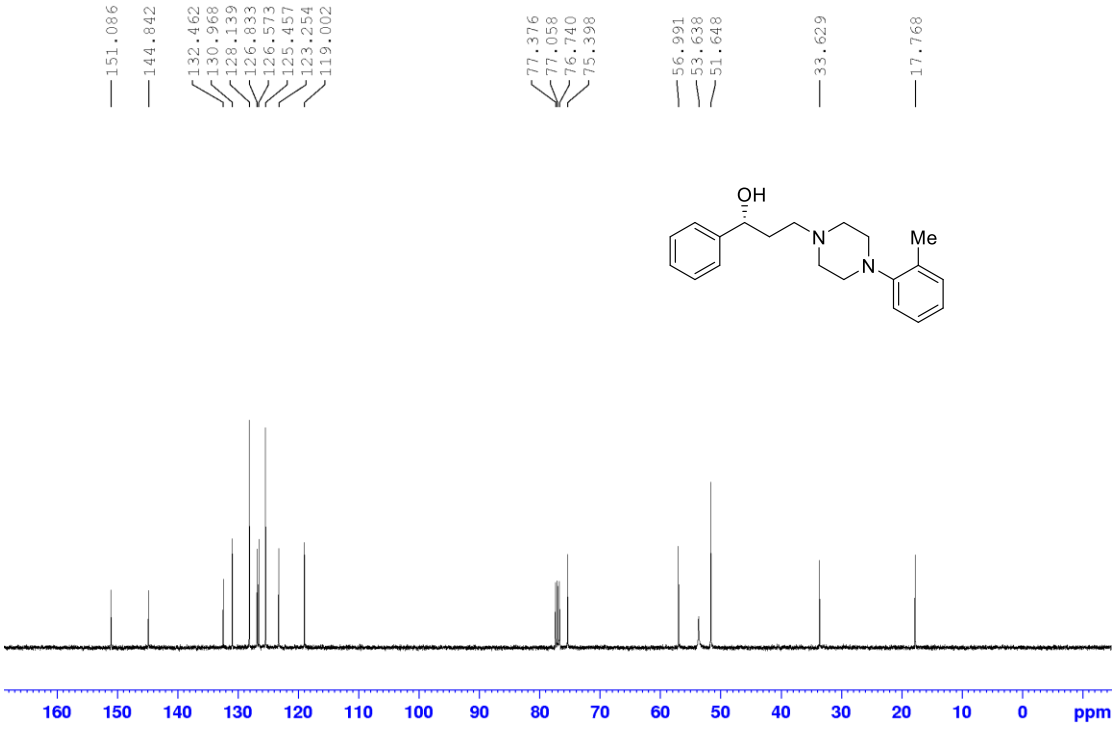


^{13}C NMR of compound **6t**



^{1}H NMR of compound **6u**



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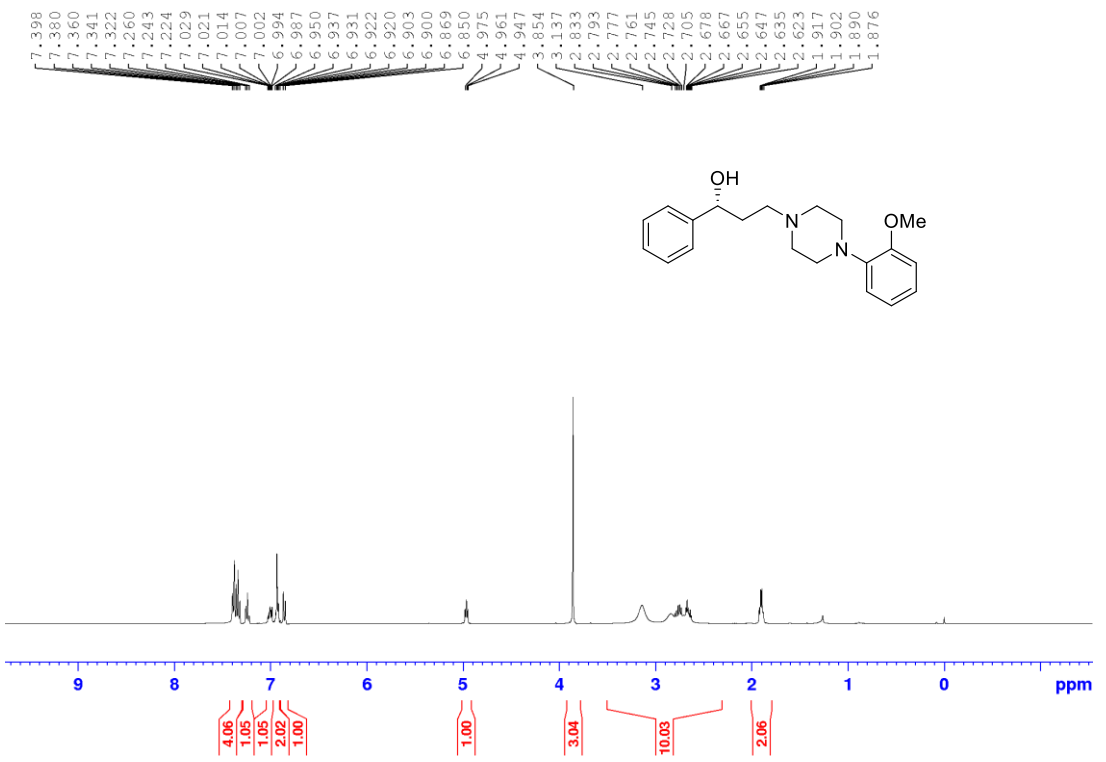

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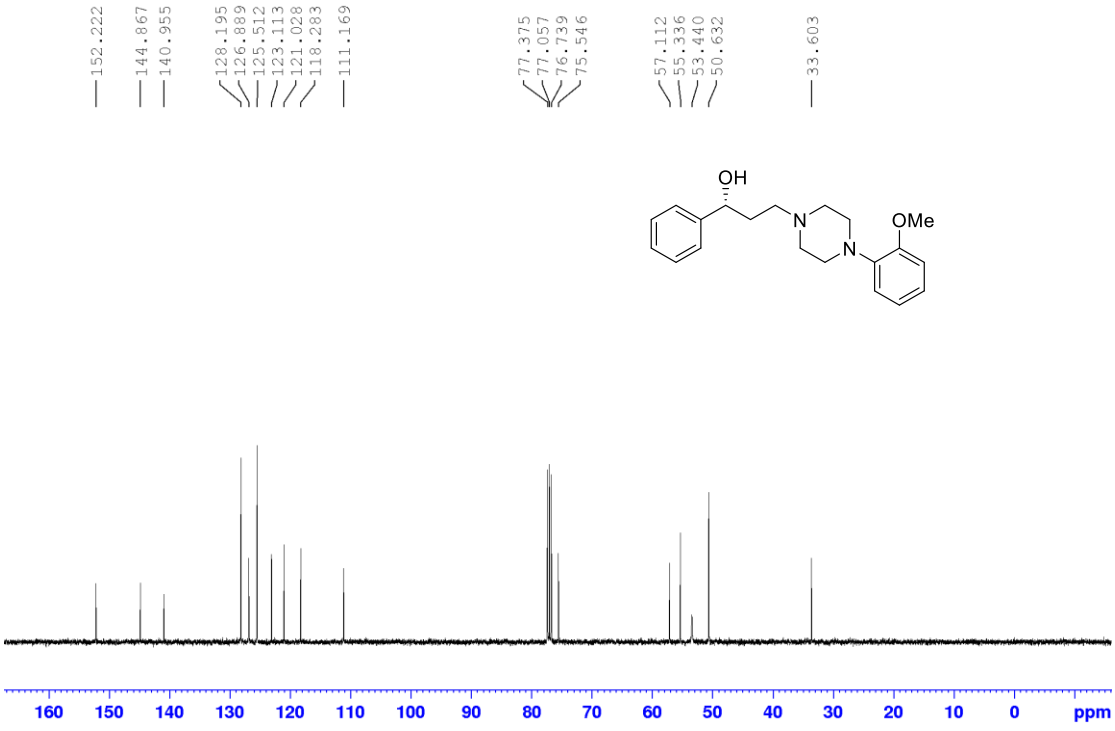

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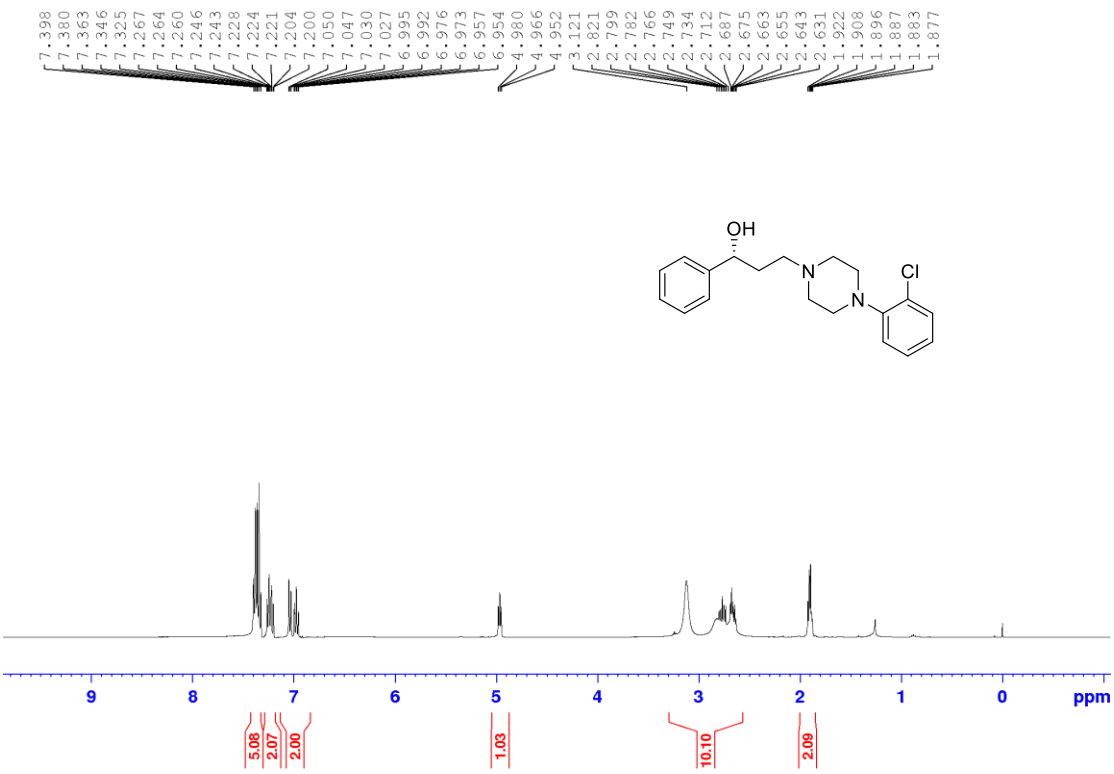

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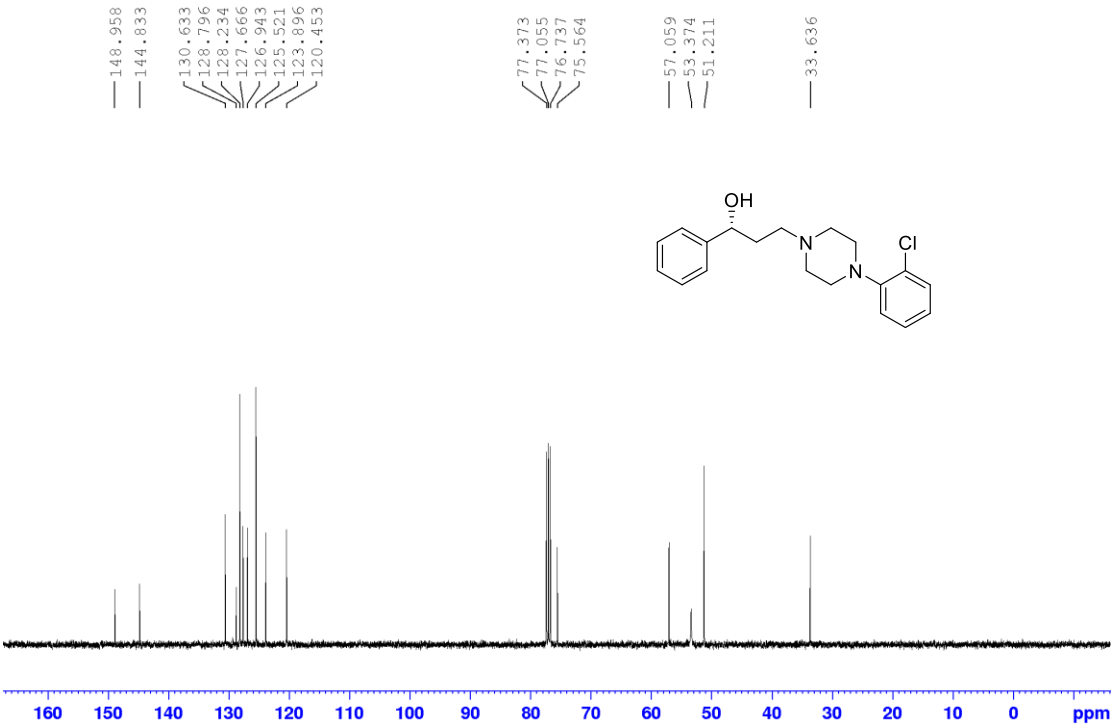

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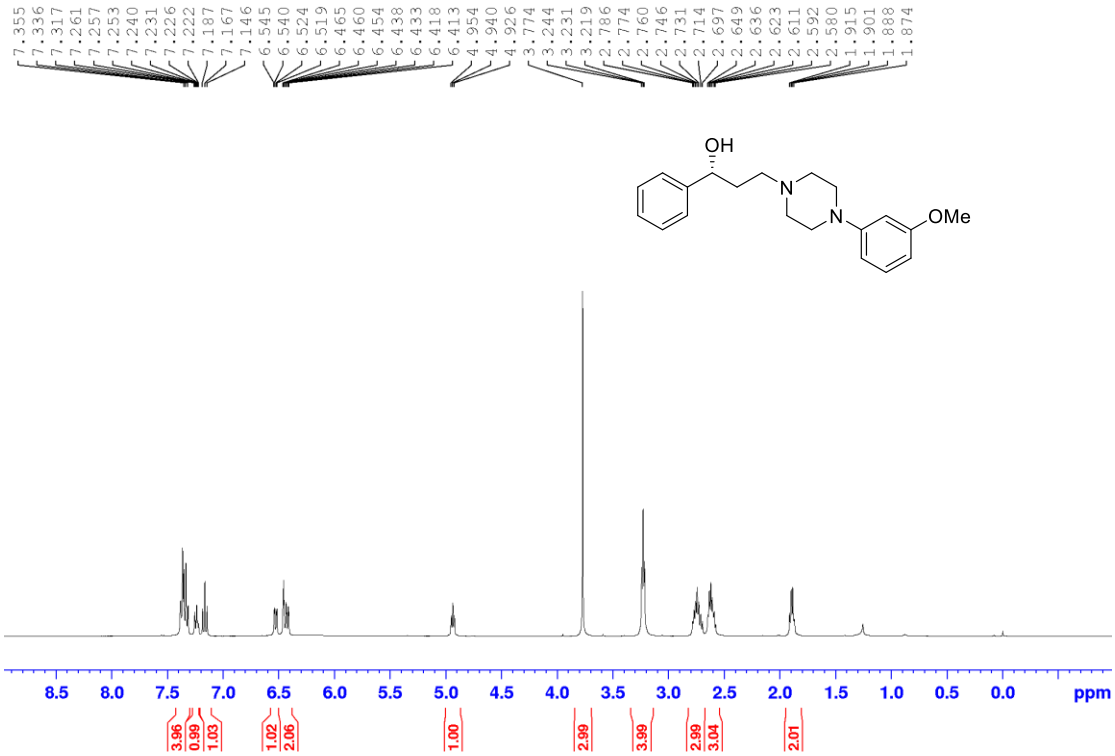
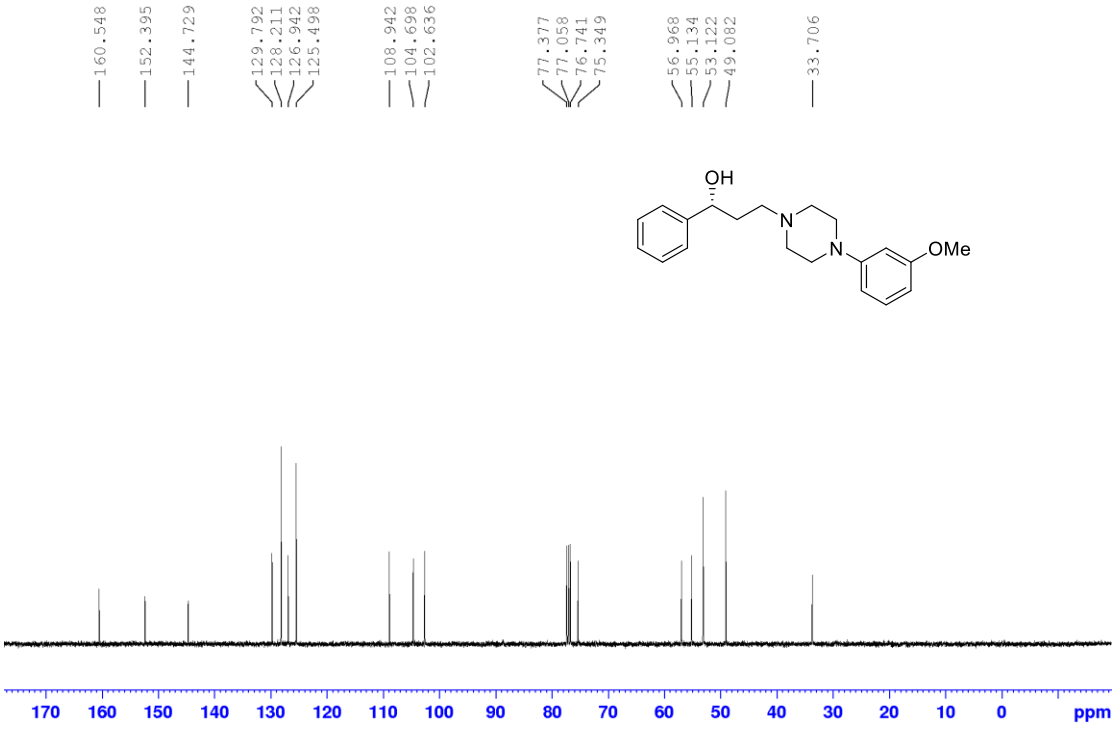


^{1}H NMR of compound **6x**



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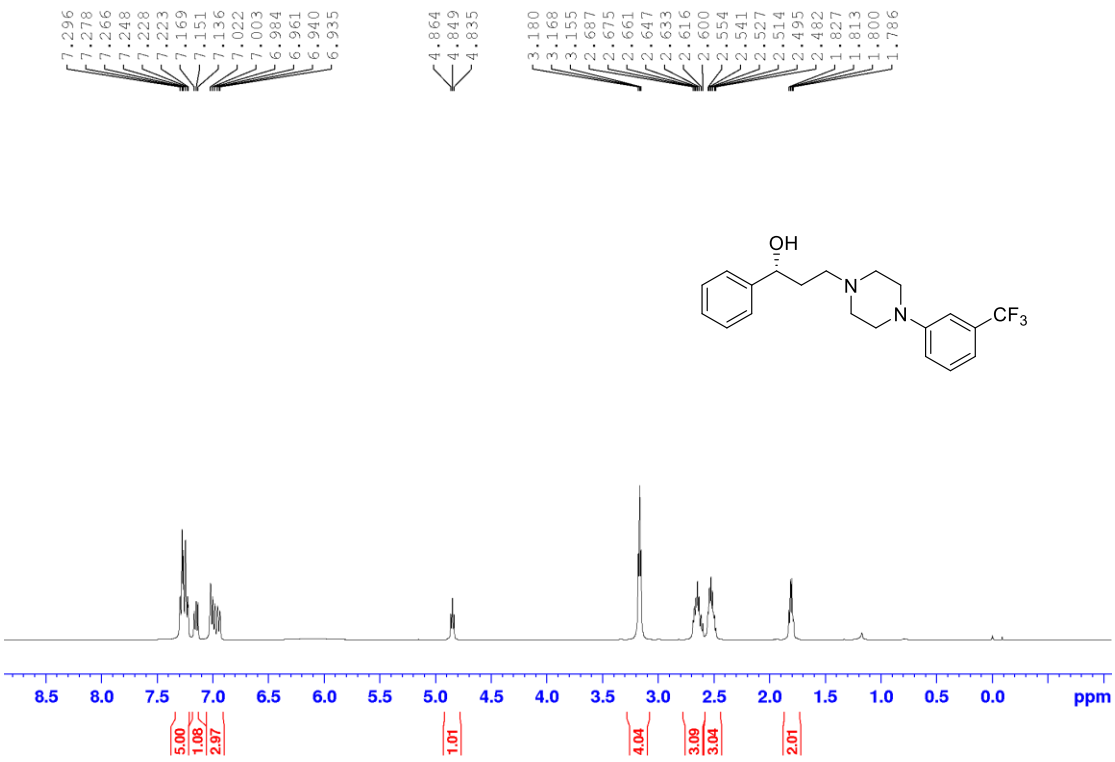

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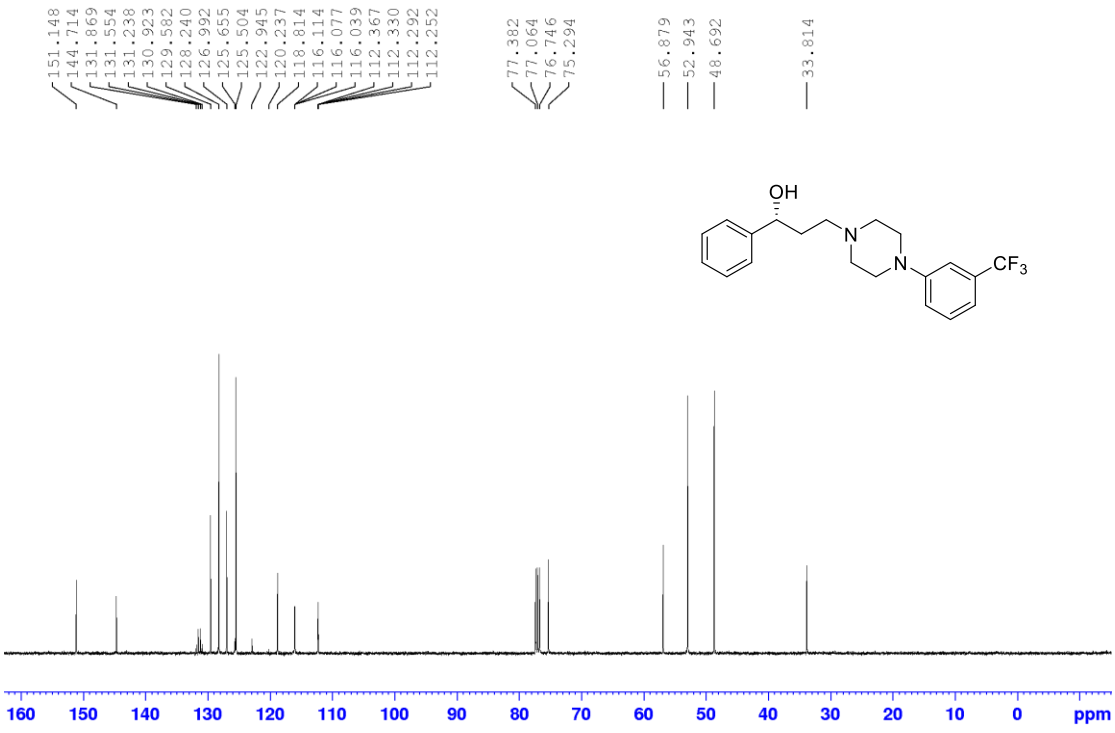

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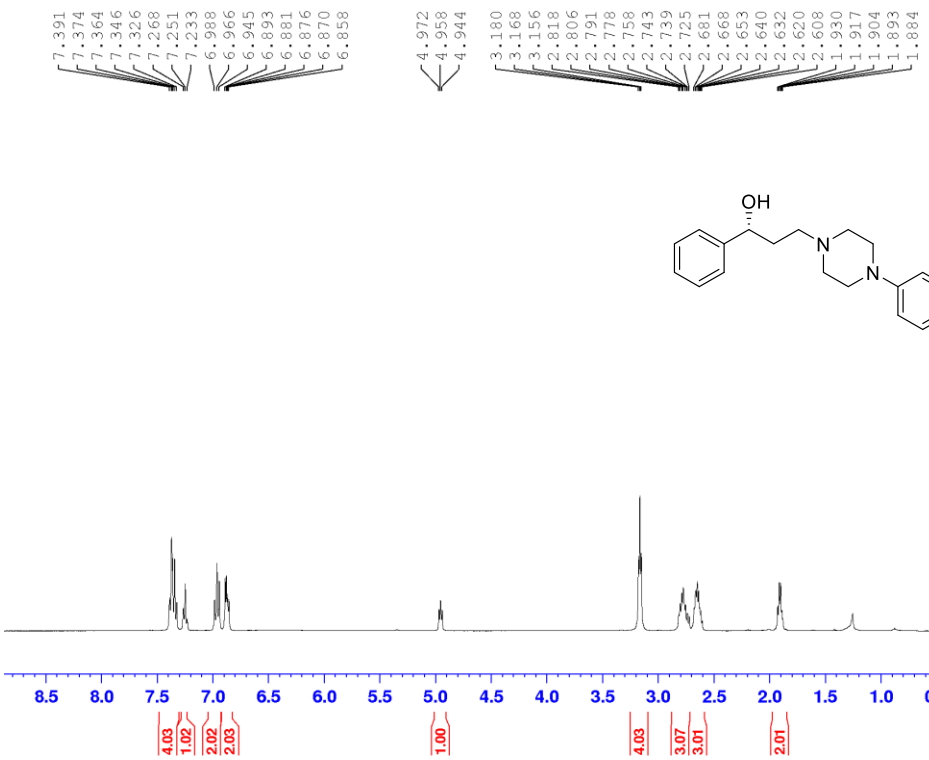

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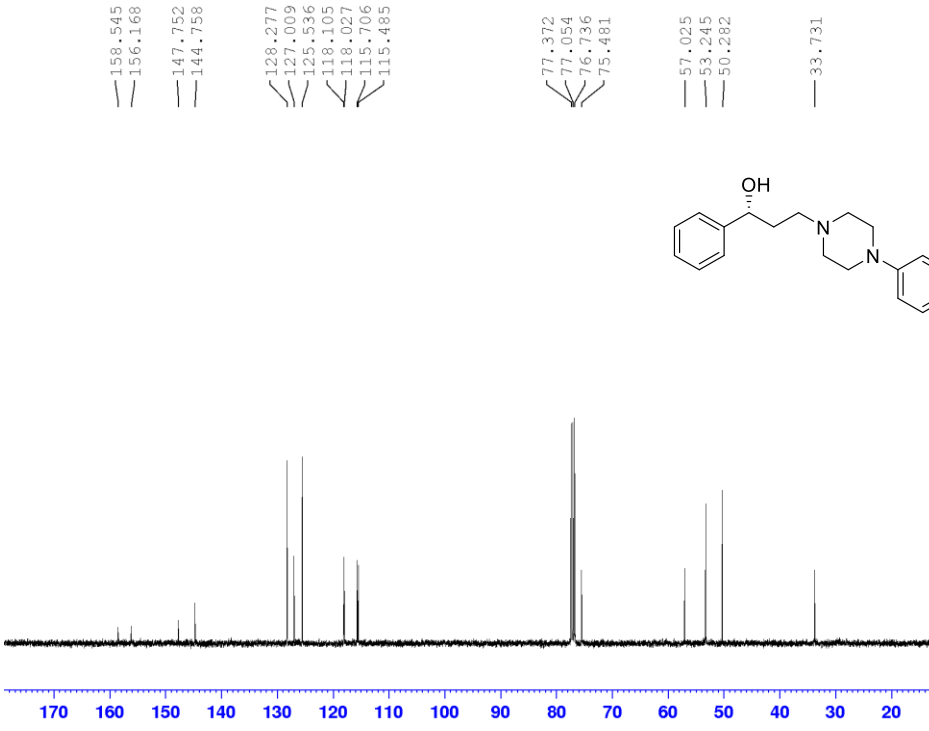

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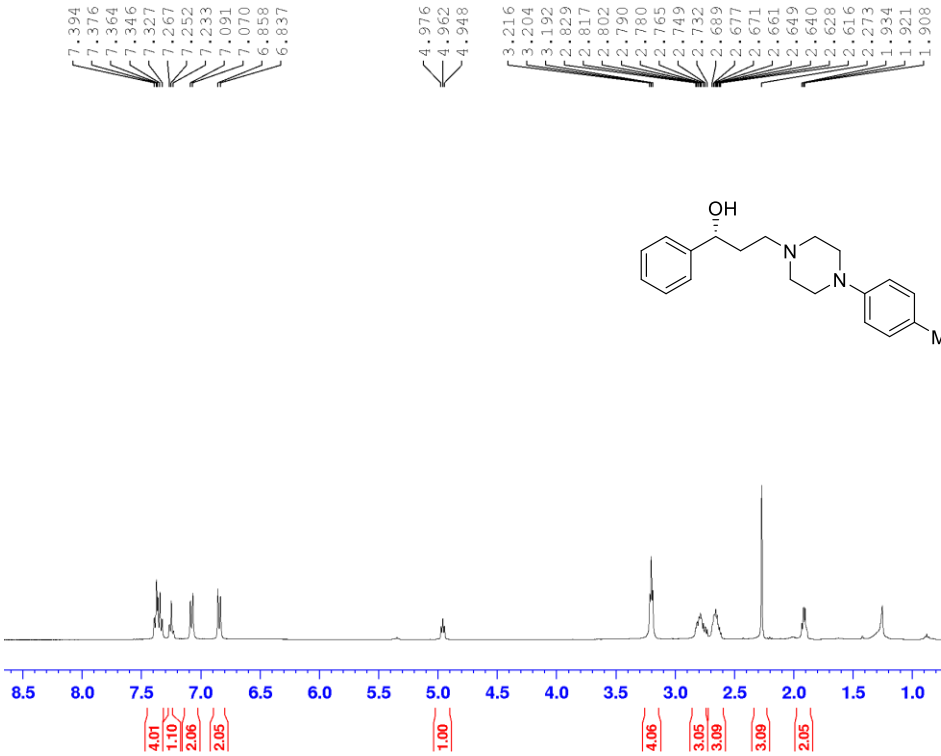
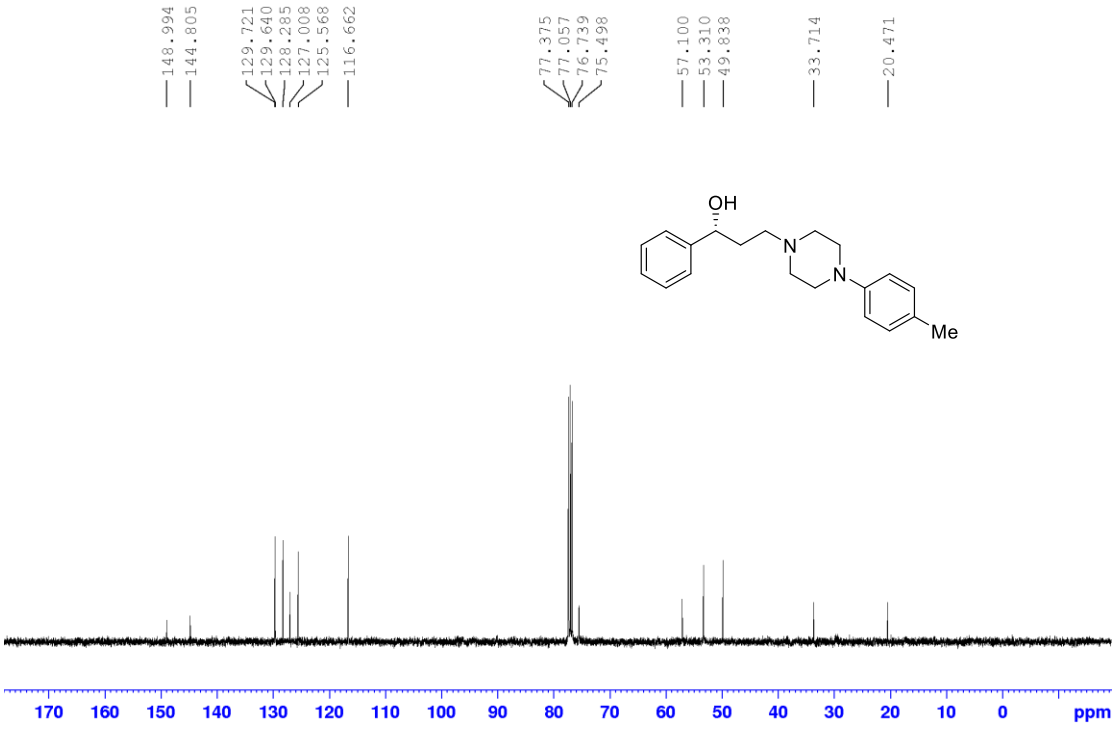
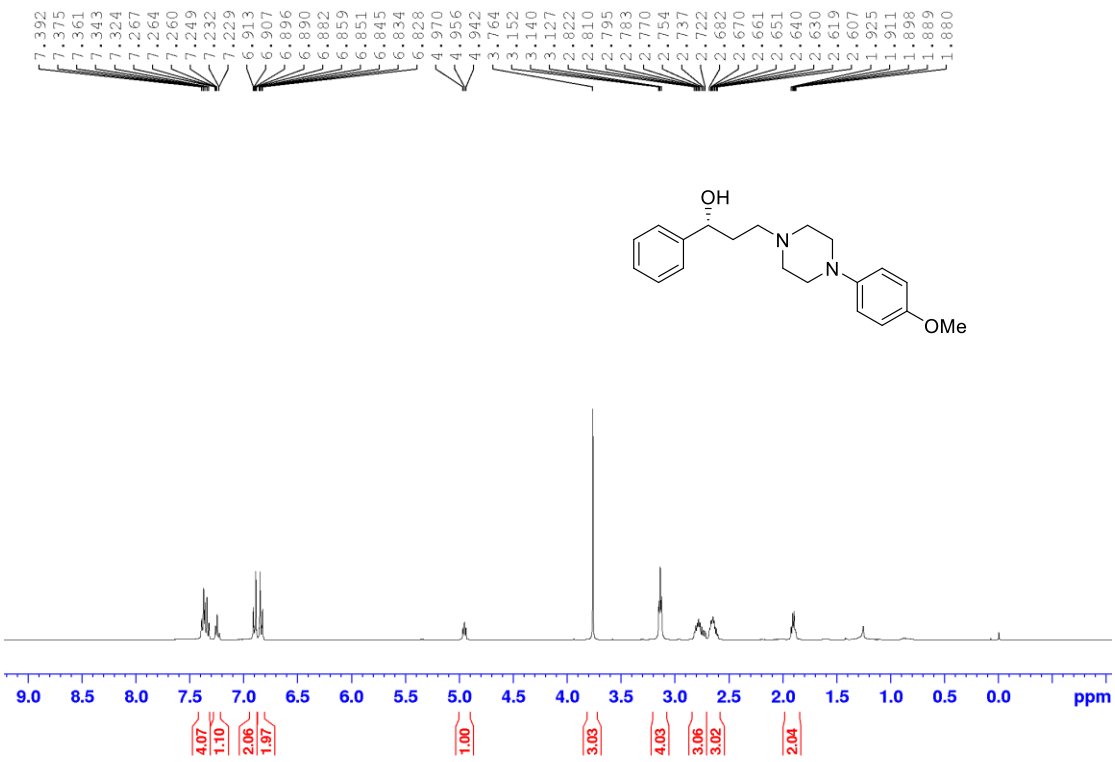


^{1}H NMR of compound **6aa**



^{13}C NMR of compound **6aa**



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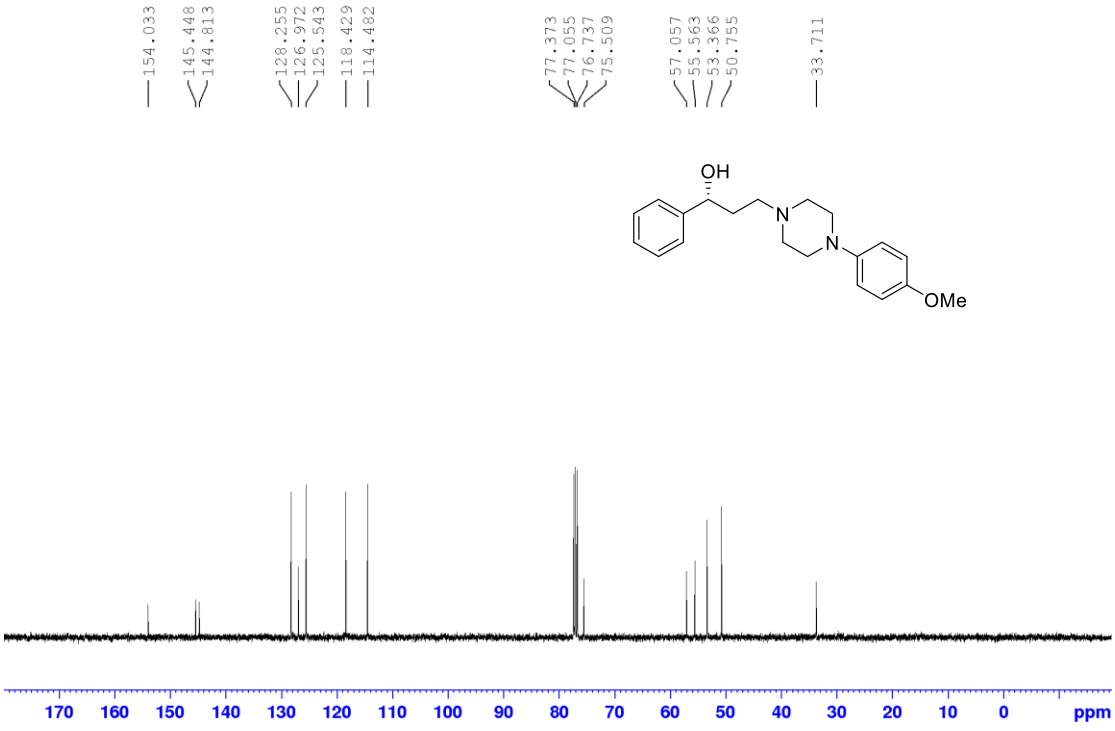

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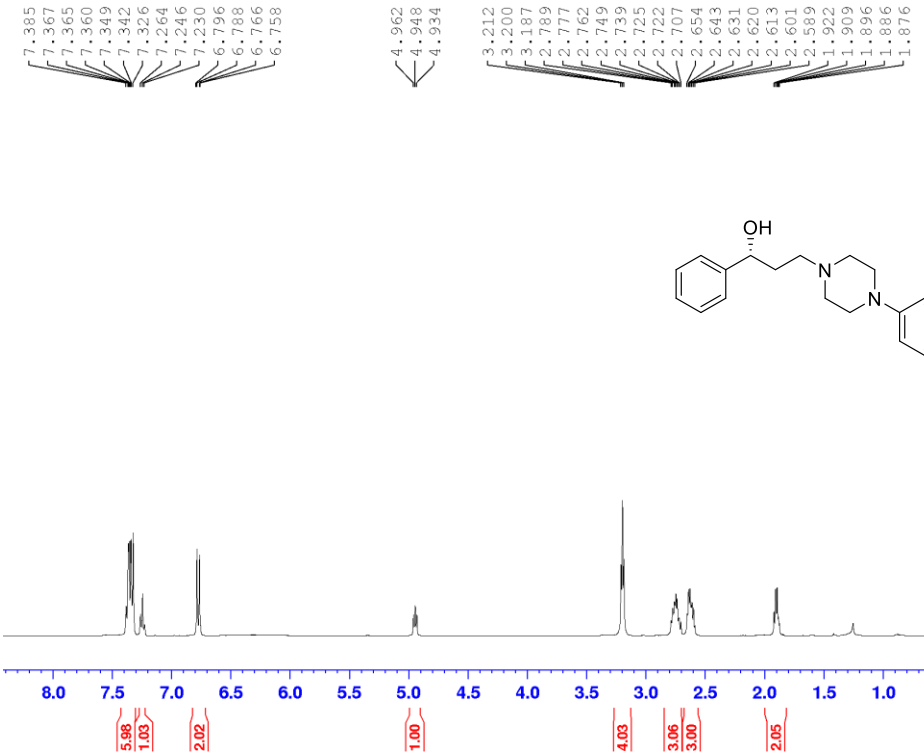

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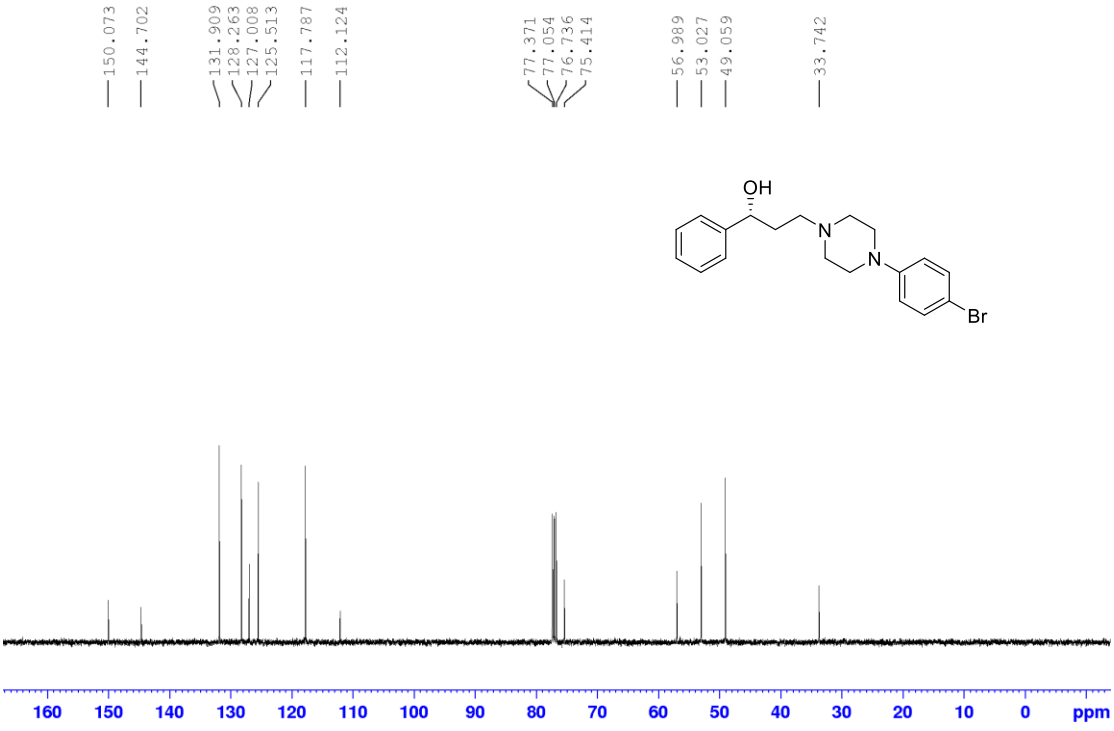
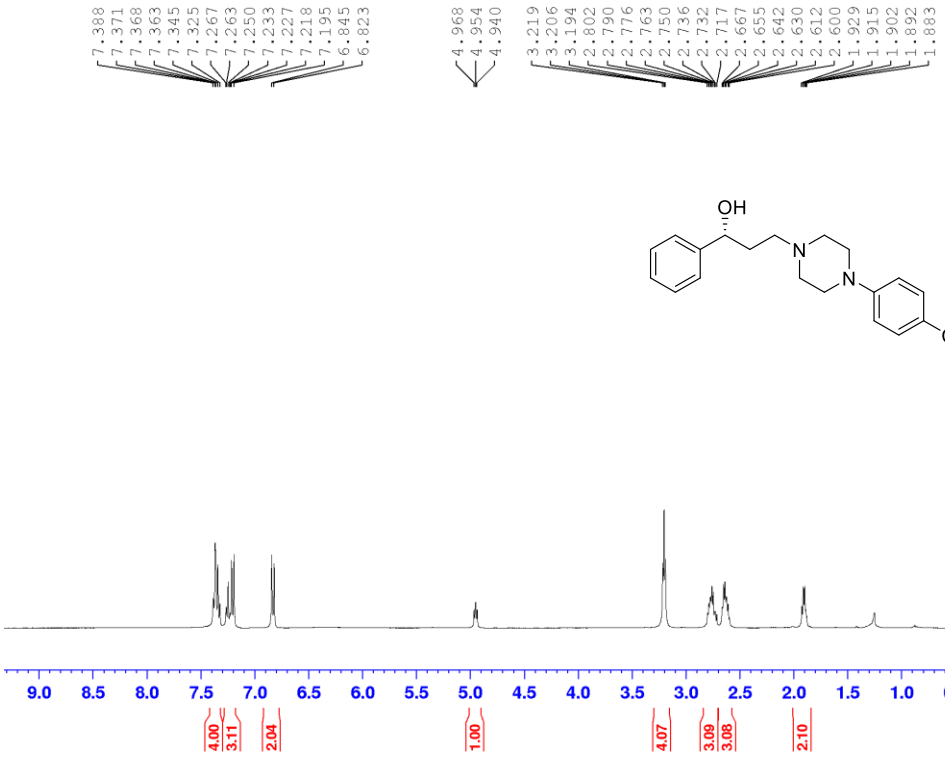


^{13}C NMR of compound **6ac**



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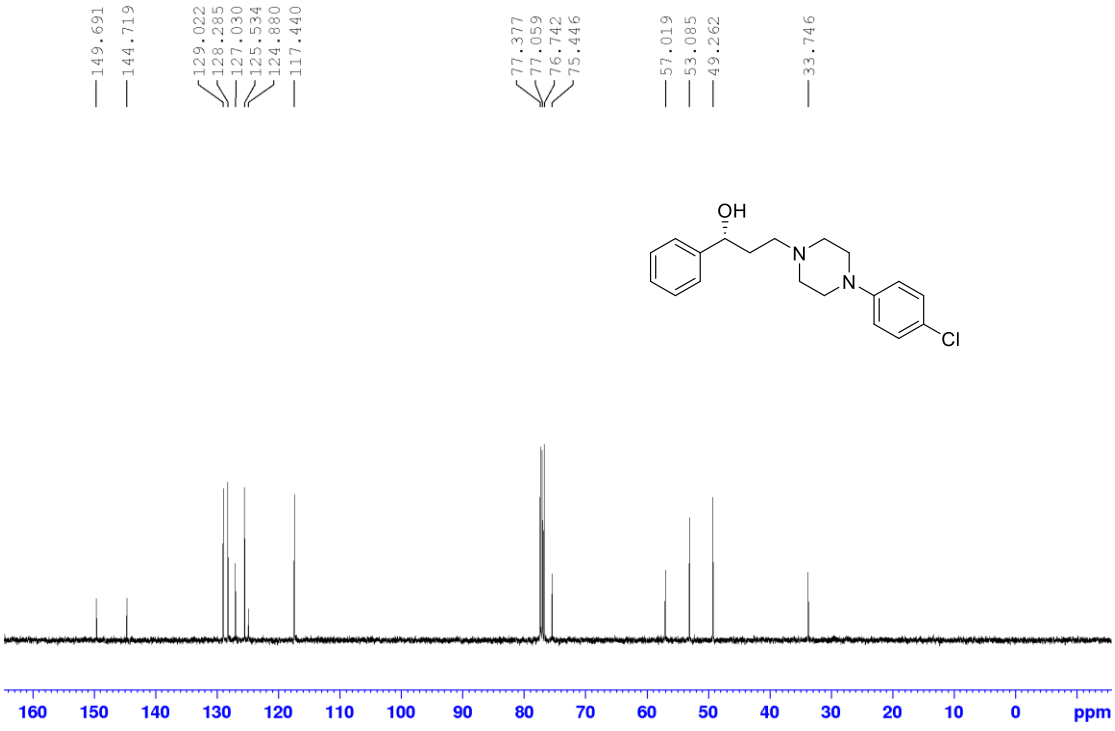
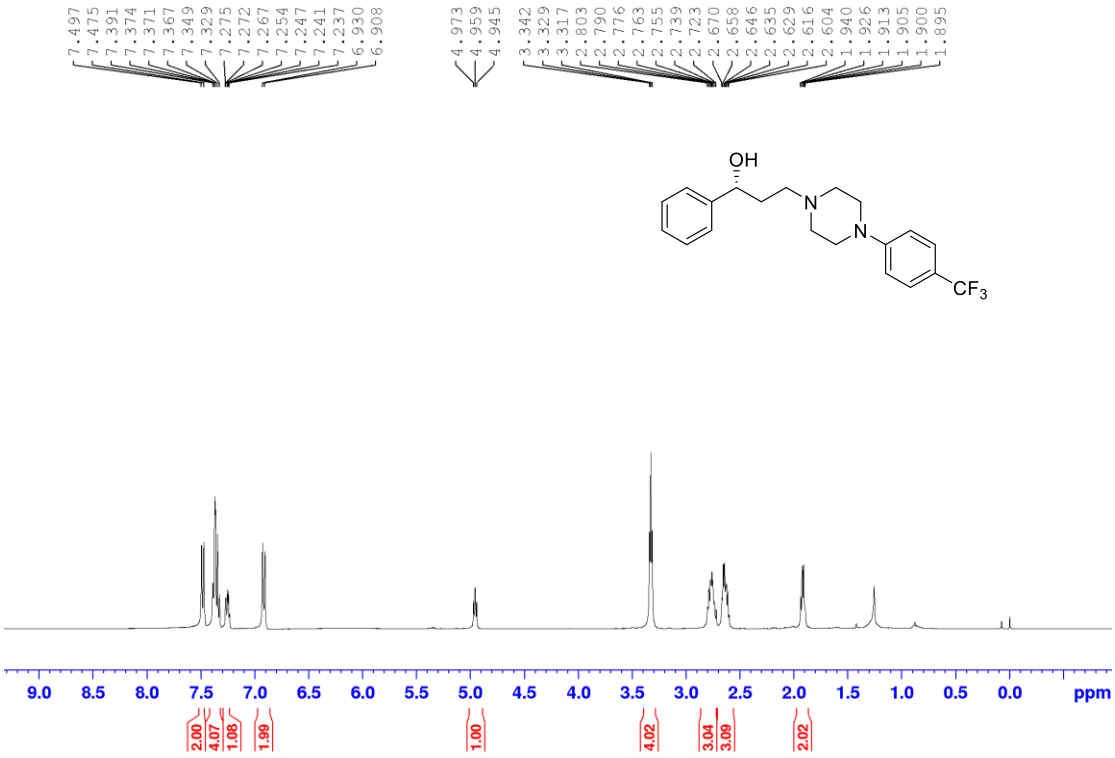


^{13}C NMR of compound **6ad**



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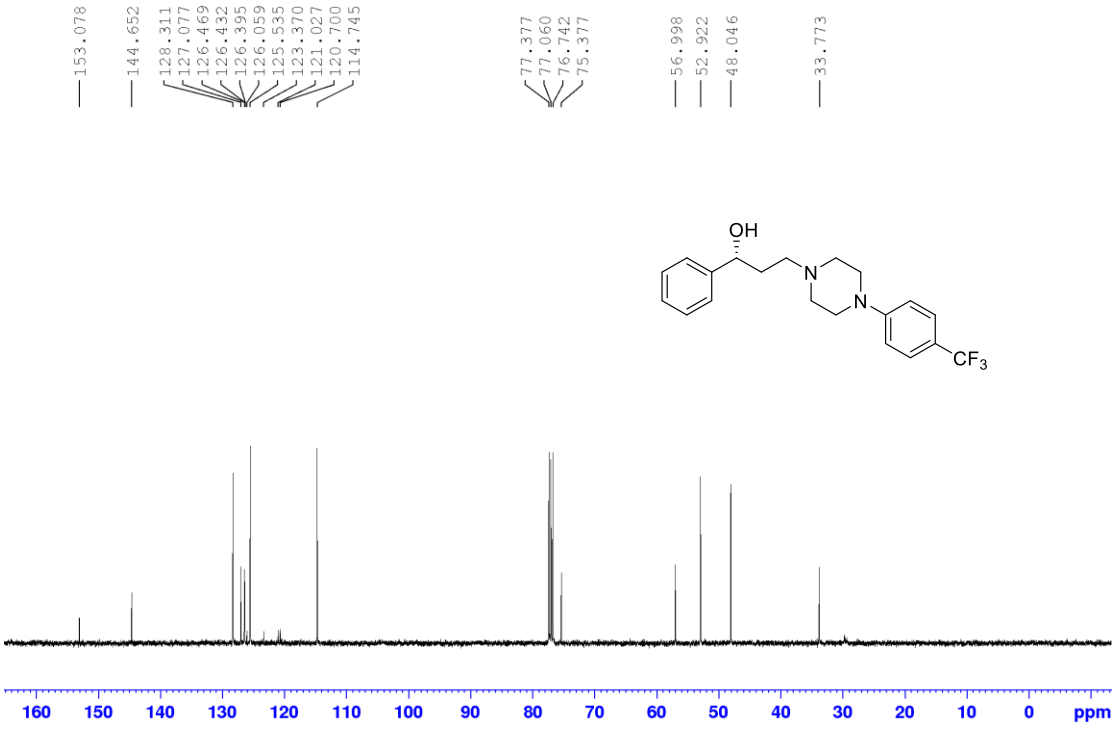
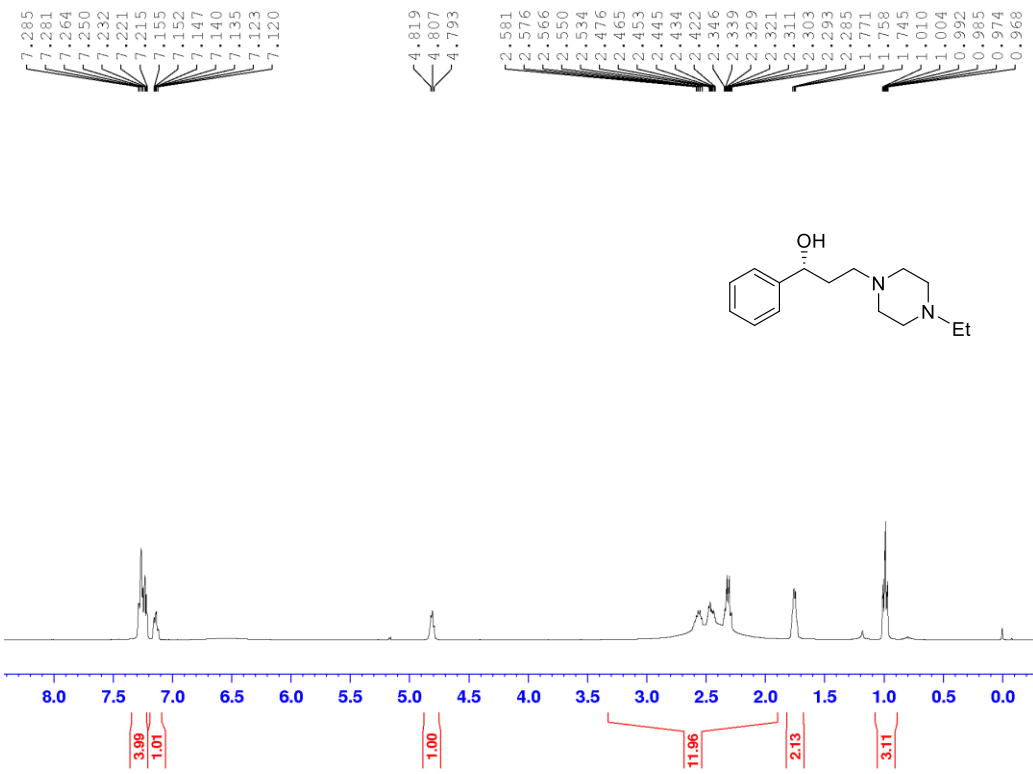


^{13}C NMR of compound **6ae**



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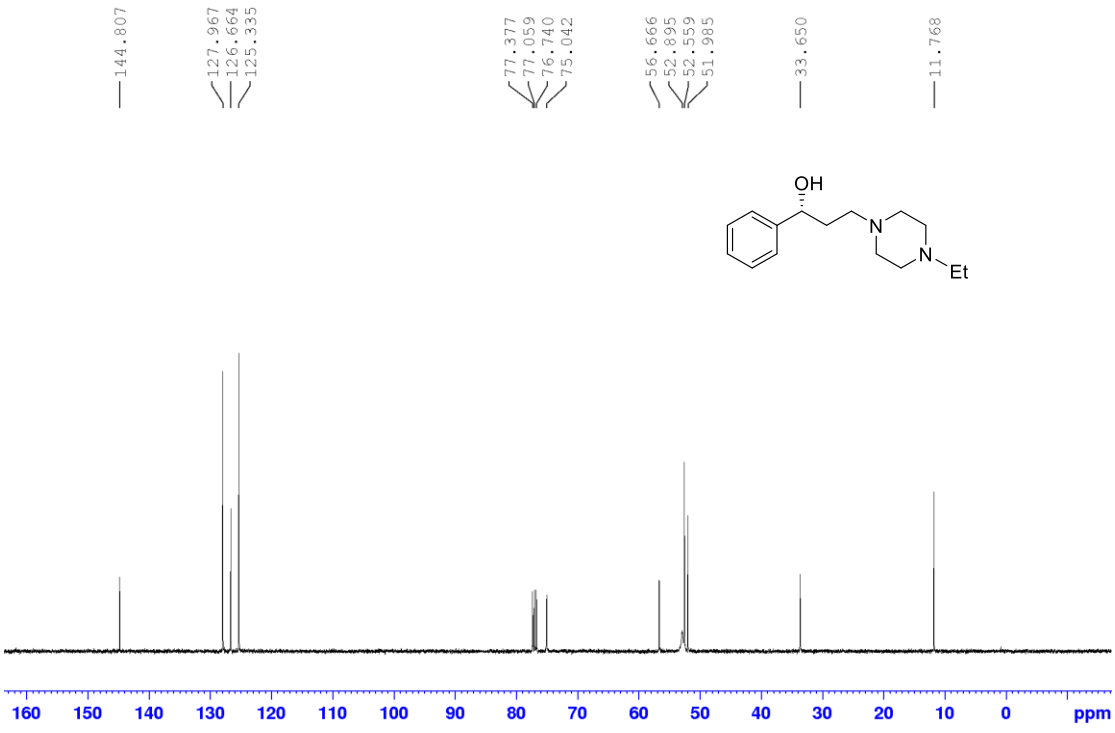

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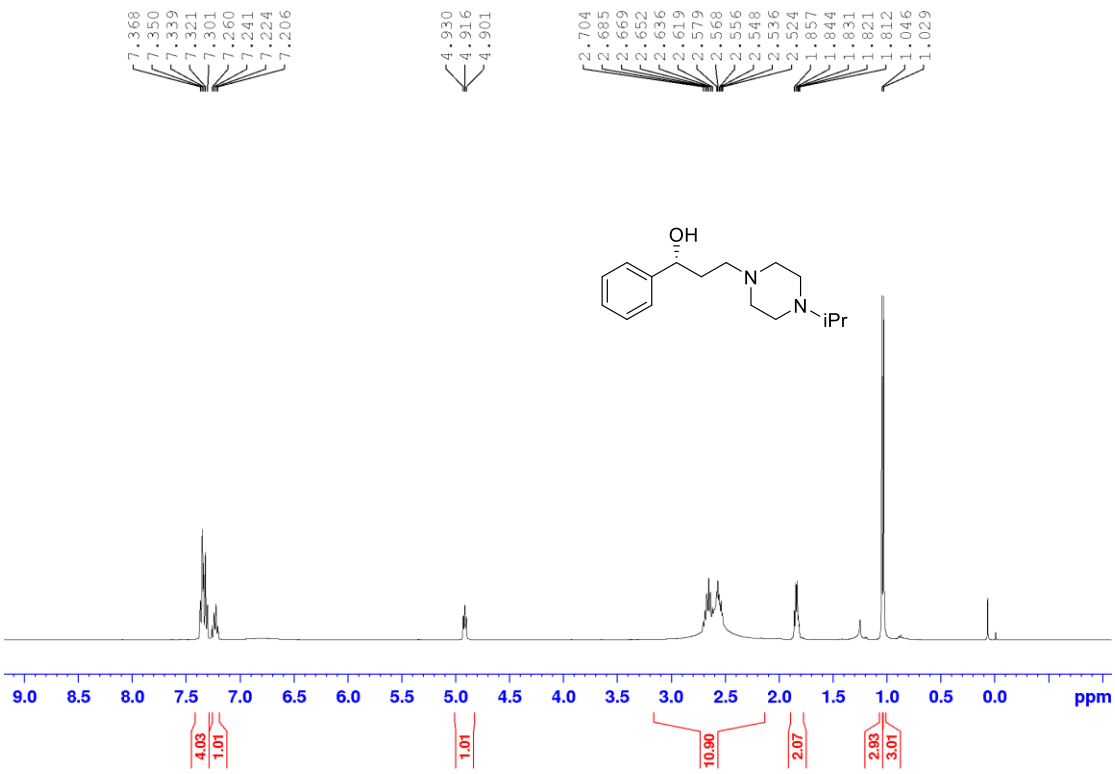
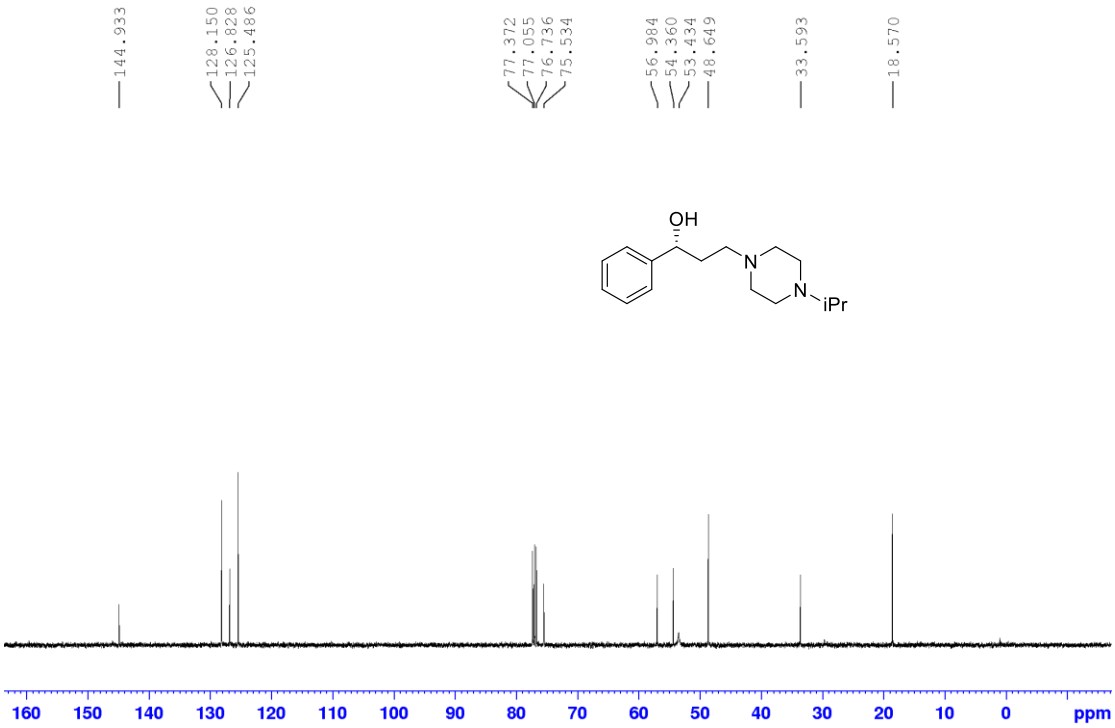
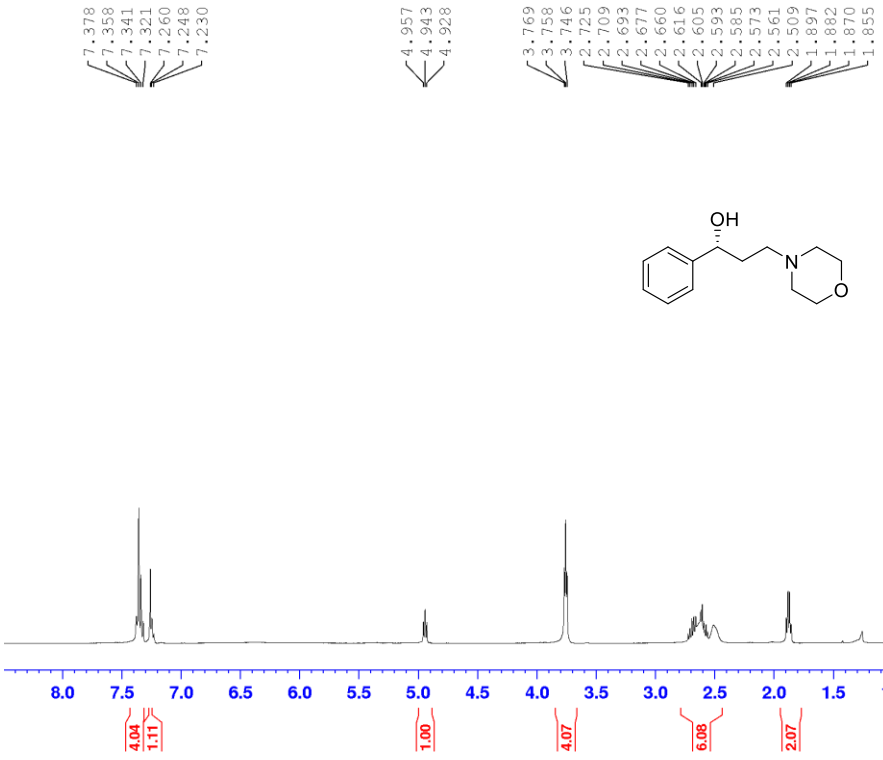


^{1}H NMR of compound **6ag**



^{13}C NMR of compound **6ag**



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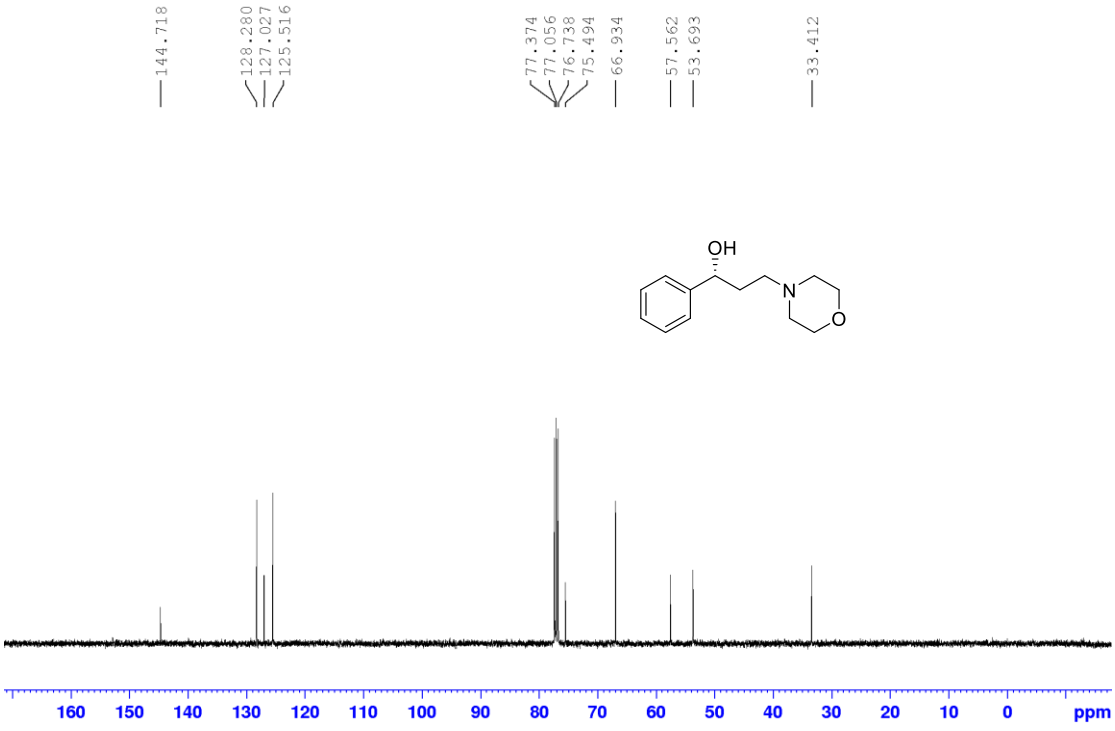
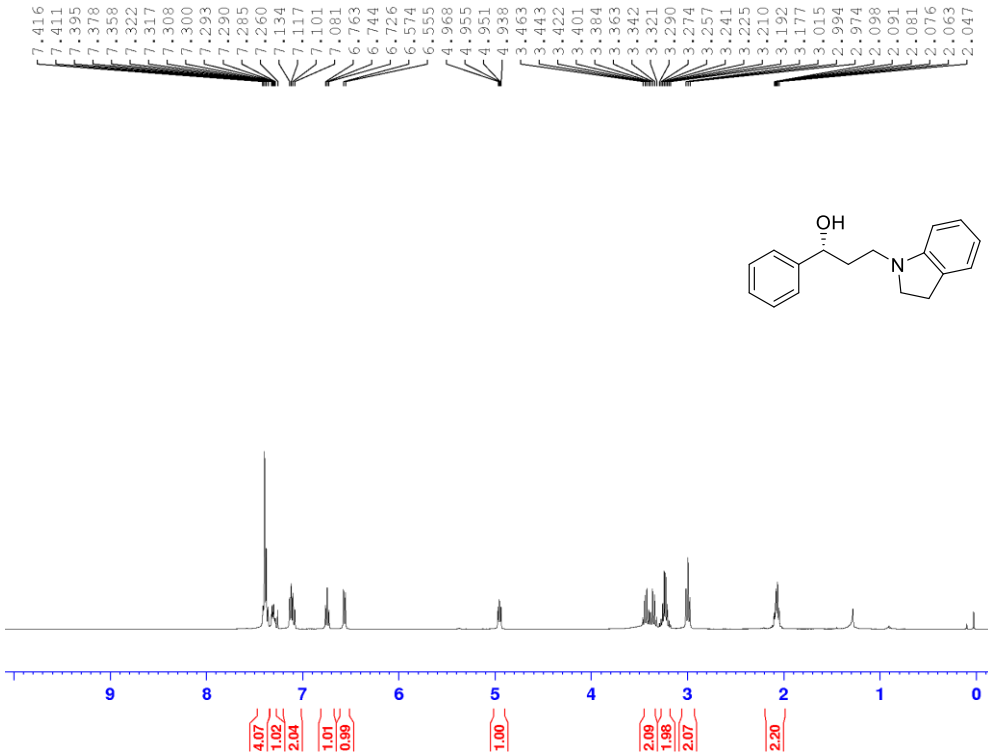


^{13}C NMR of compound **6ah**



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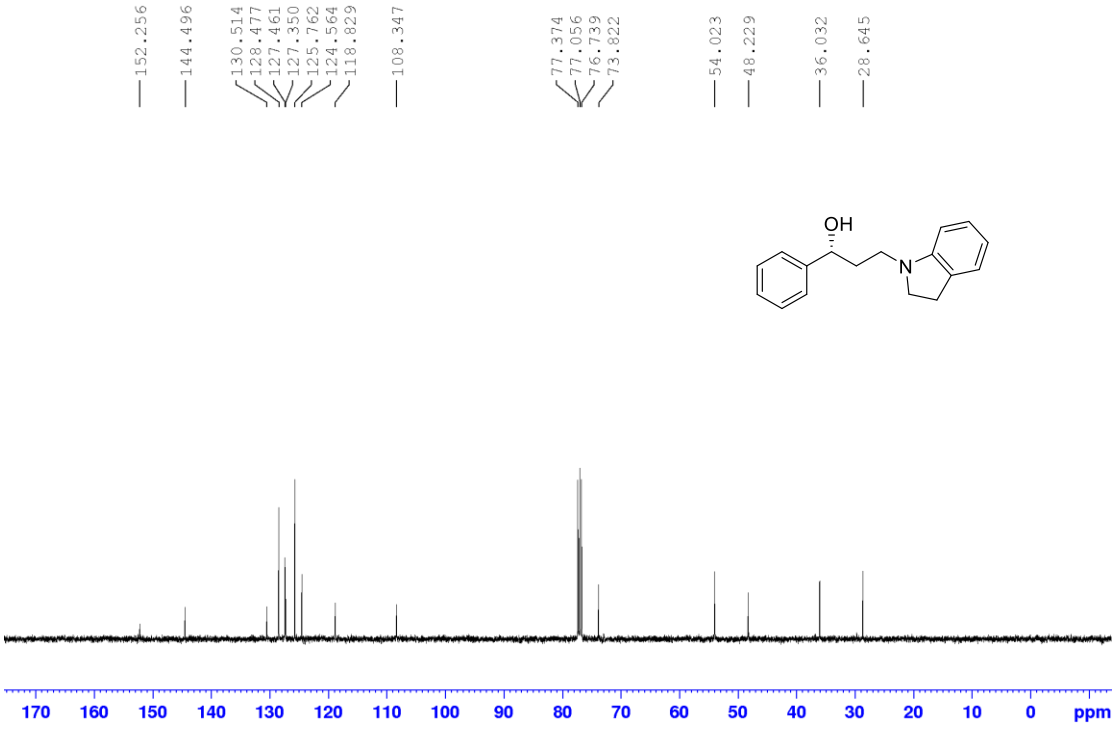
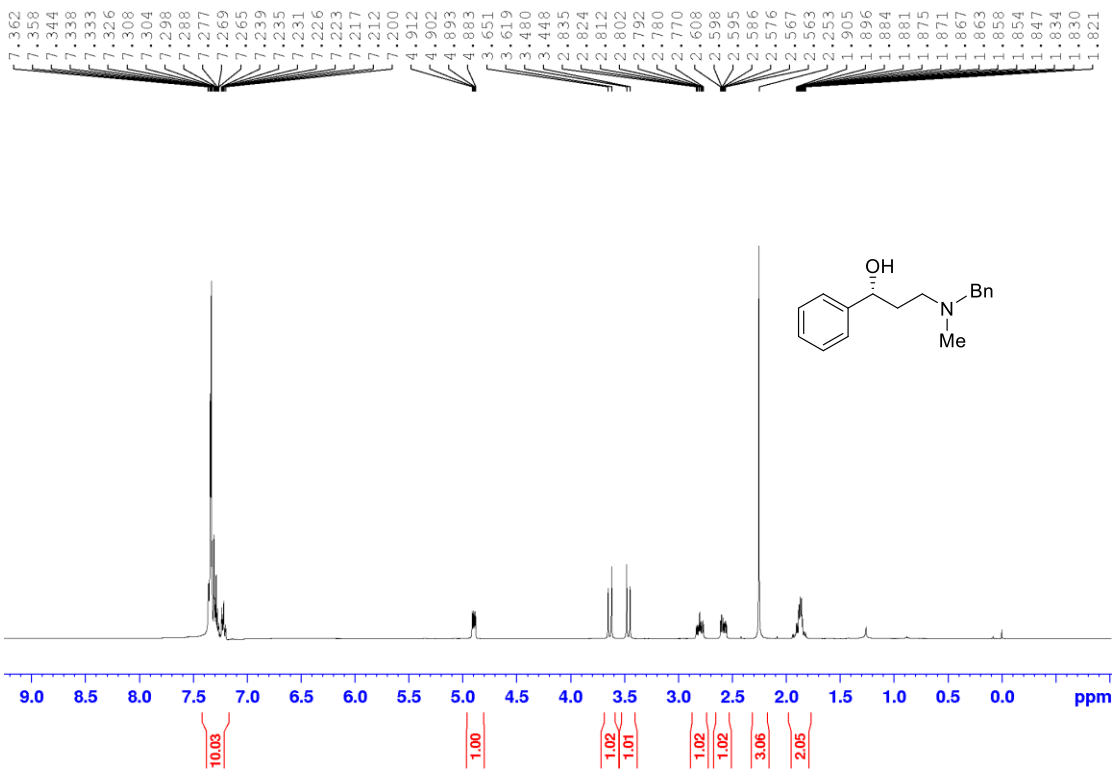


^{13}C NMR of compound **6ai**



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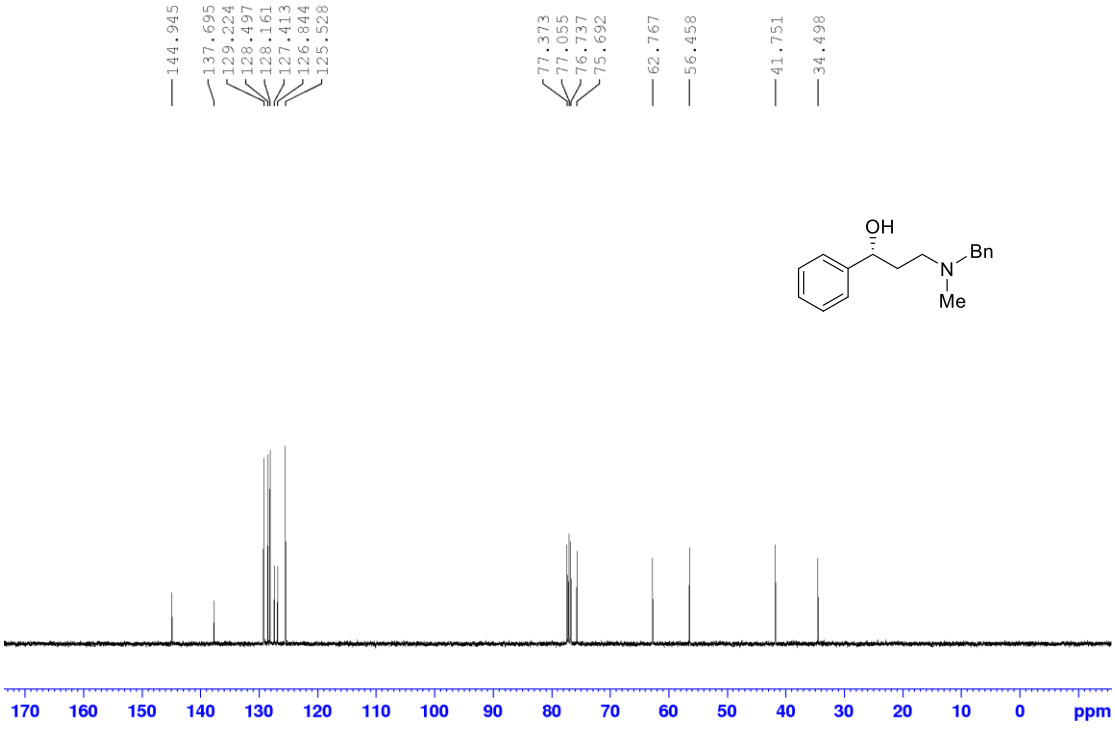
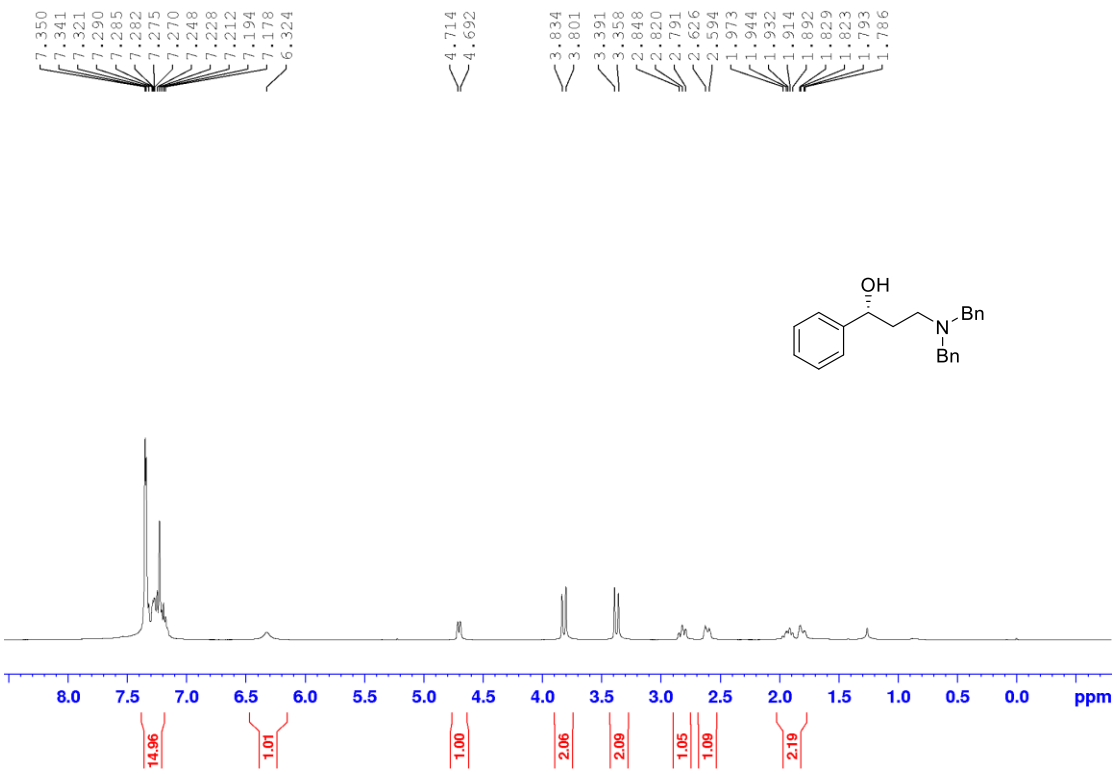


^{13}C NMR of compound **6aj**



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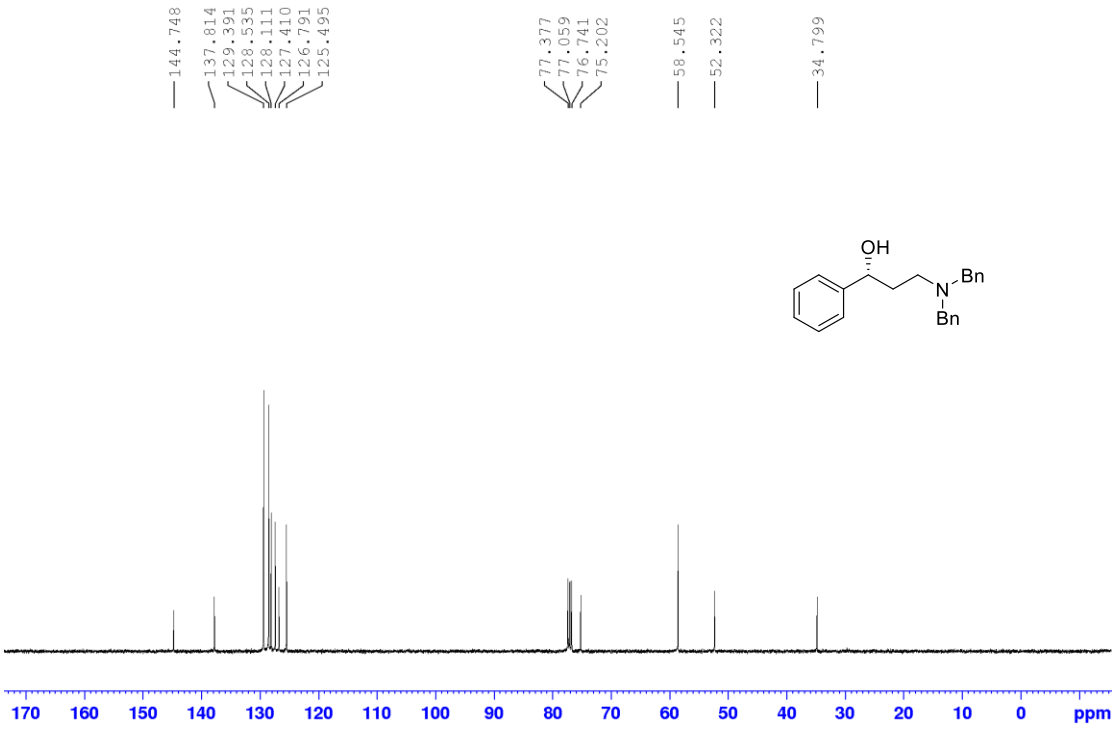

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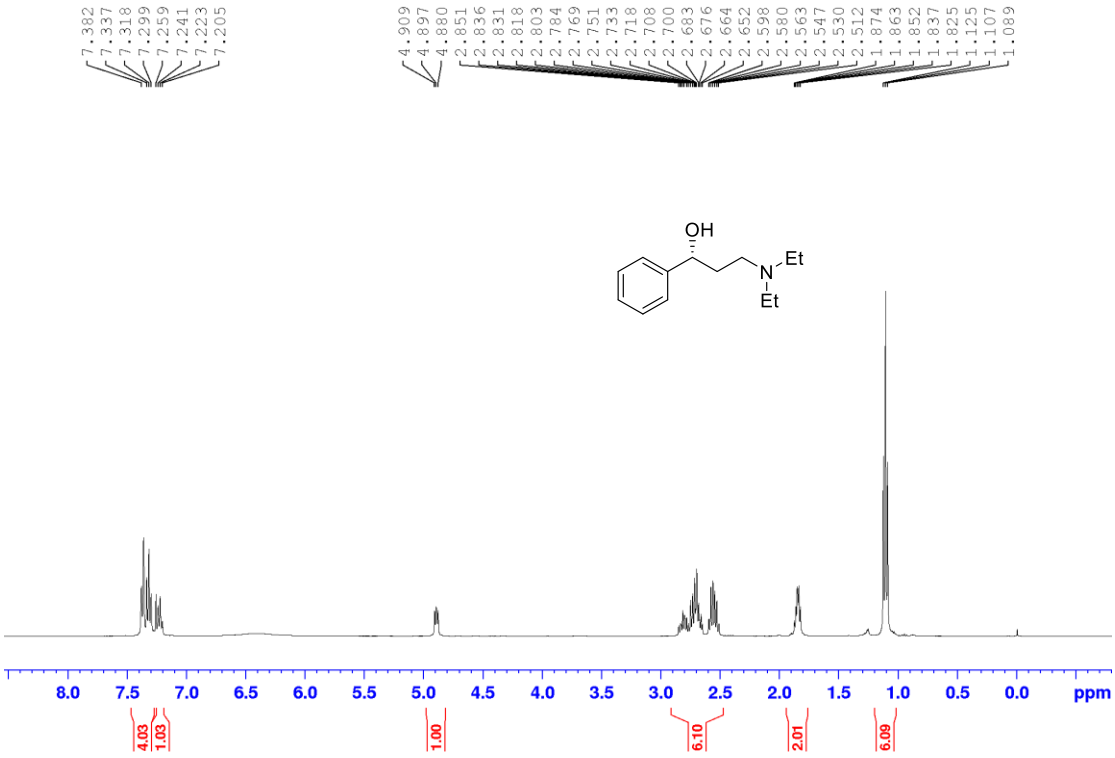

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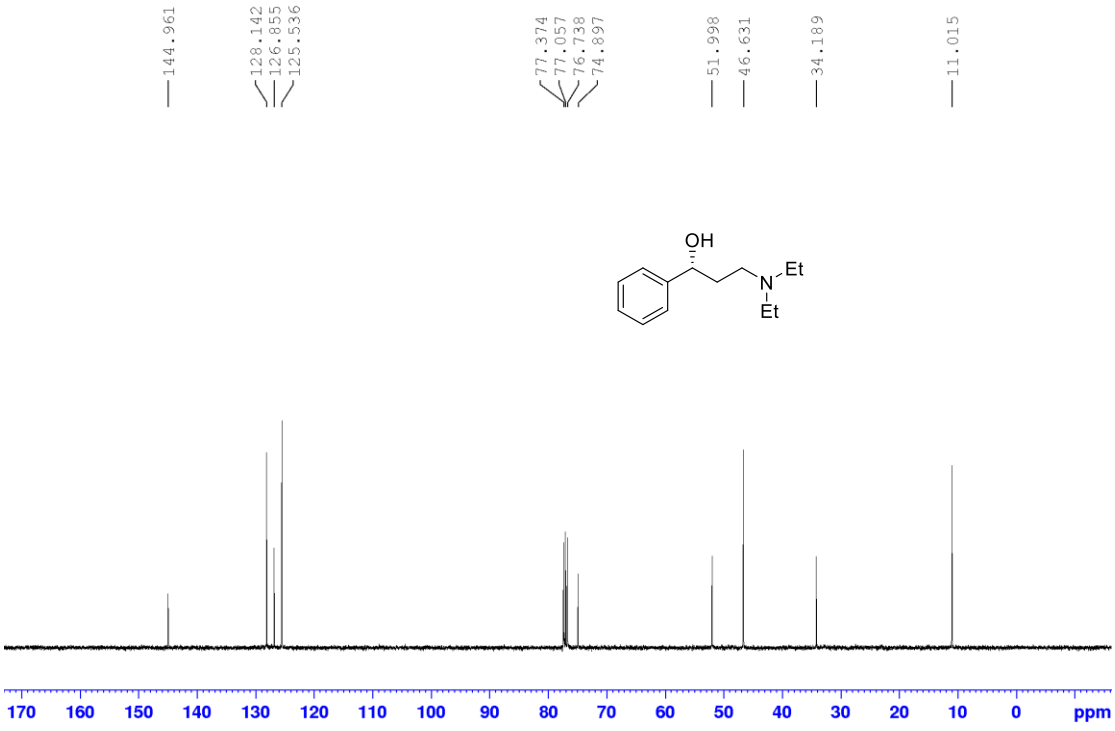

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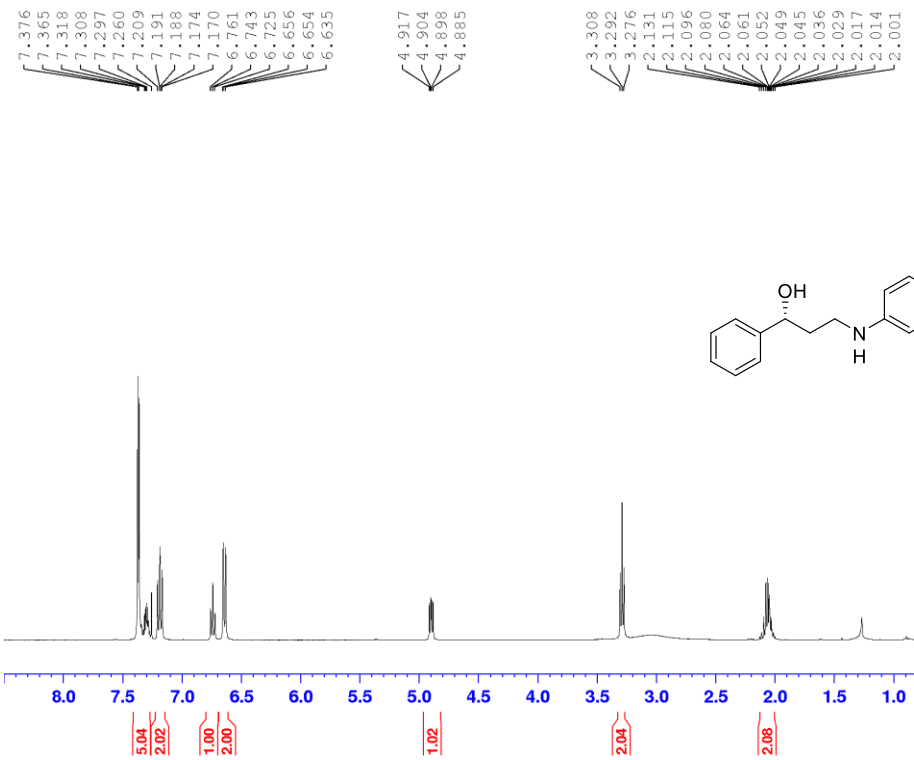

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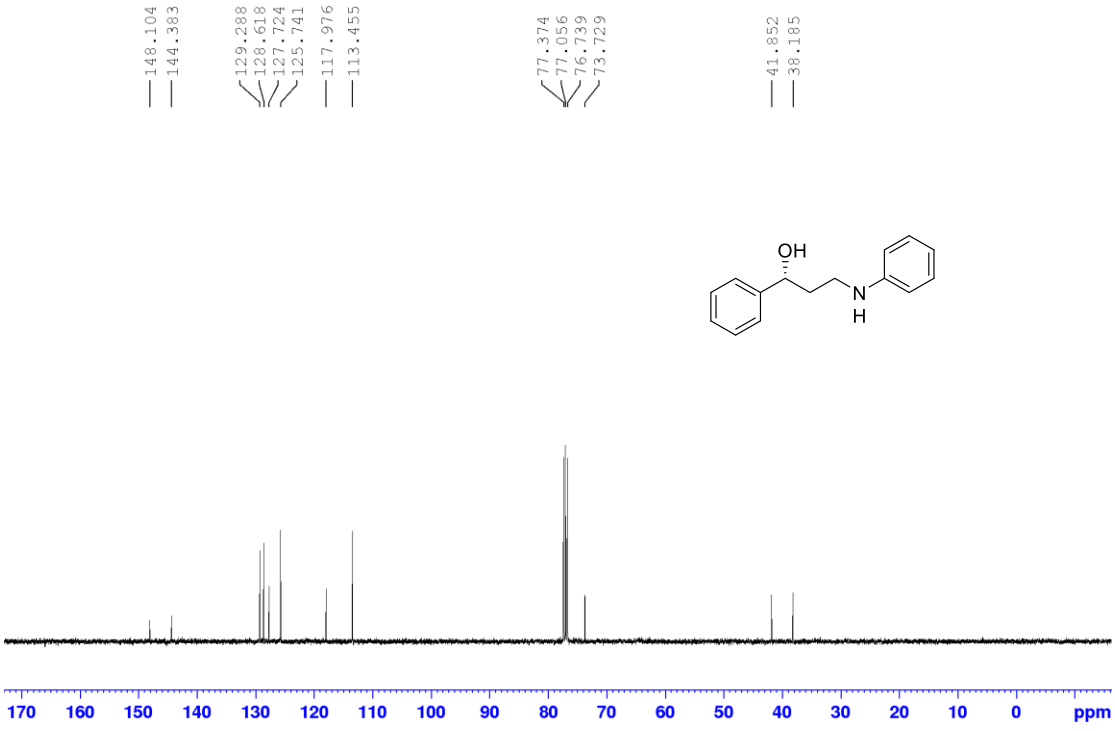

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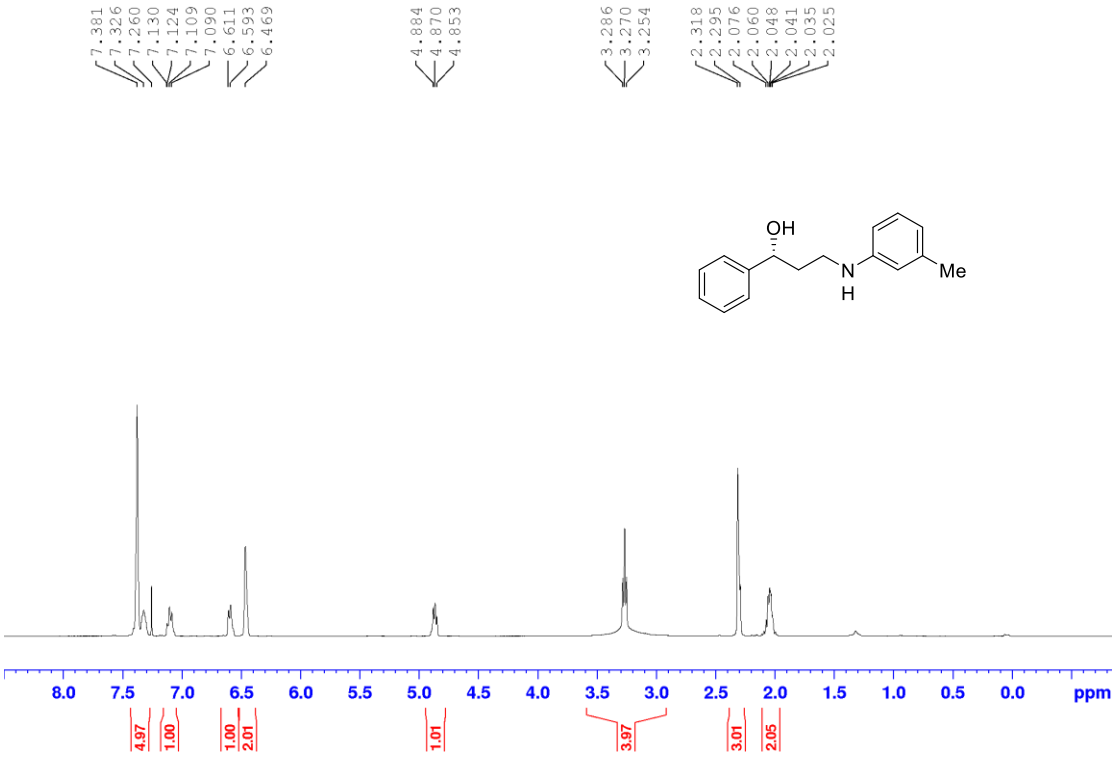

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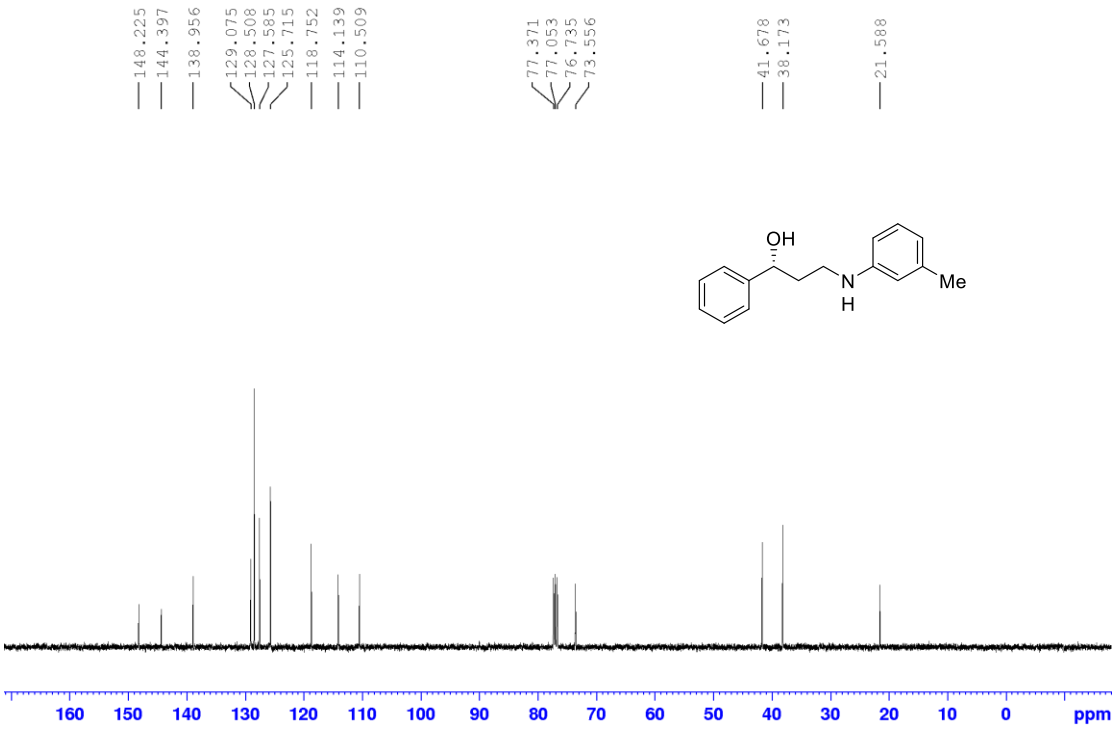

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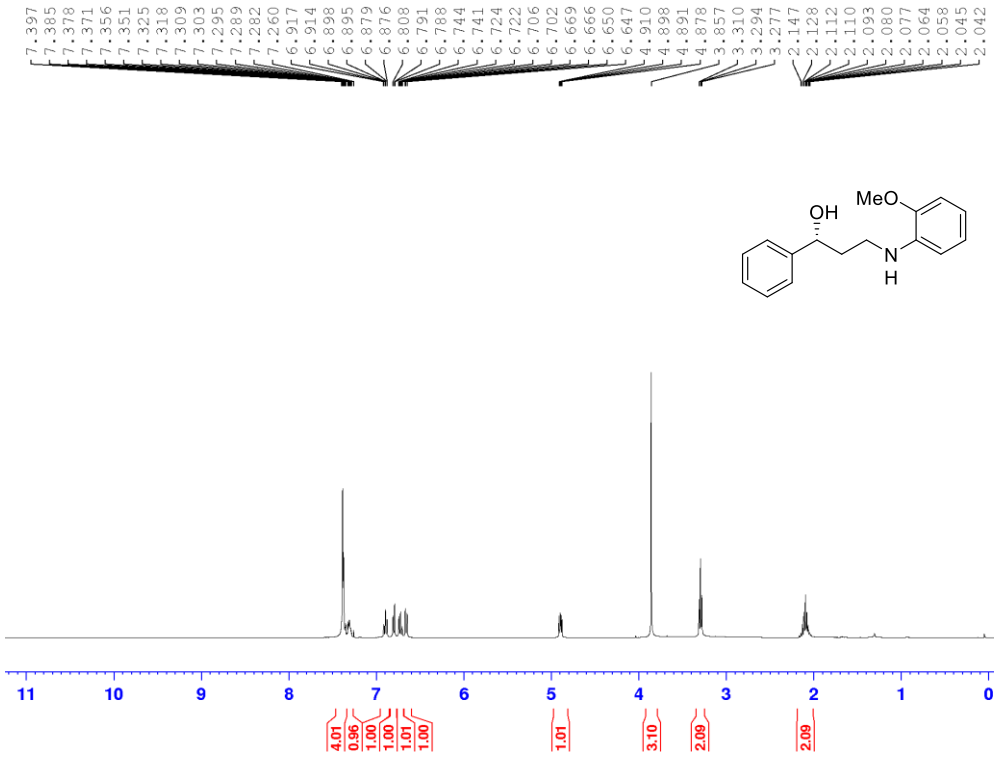

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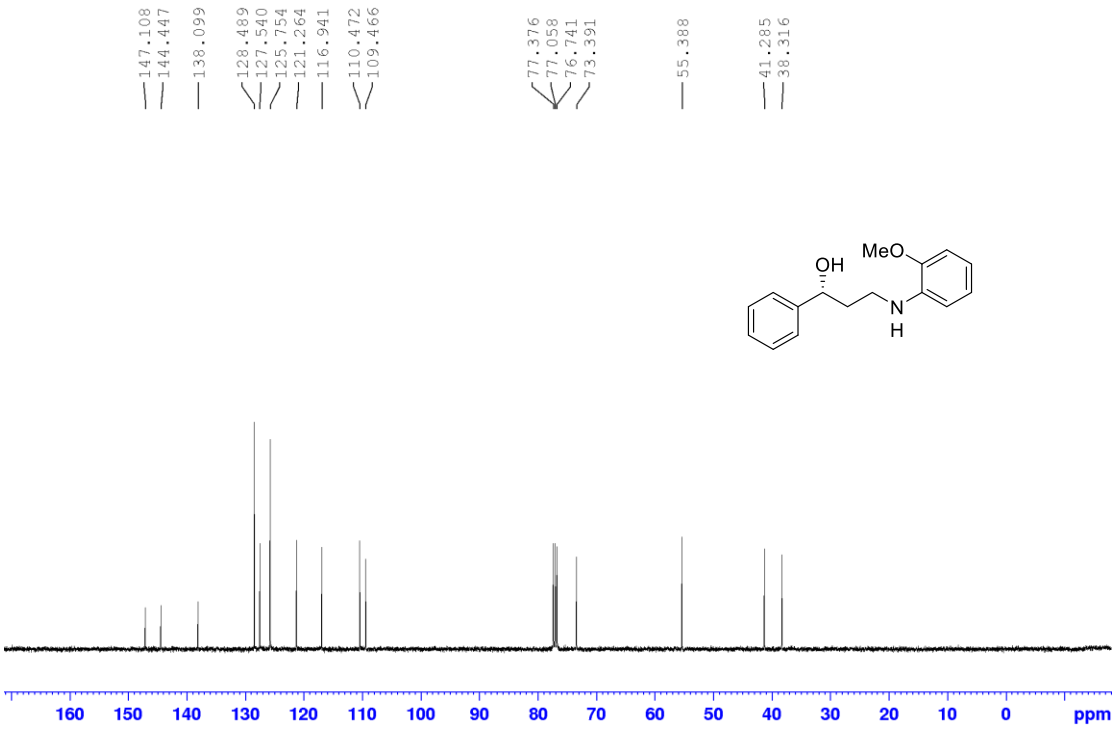
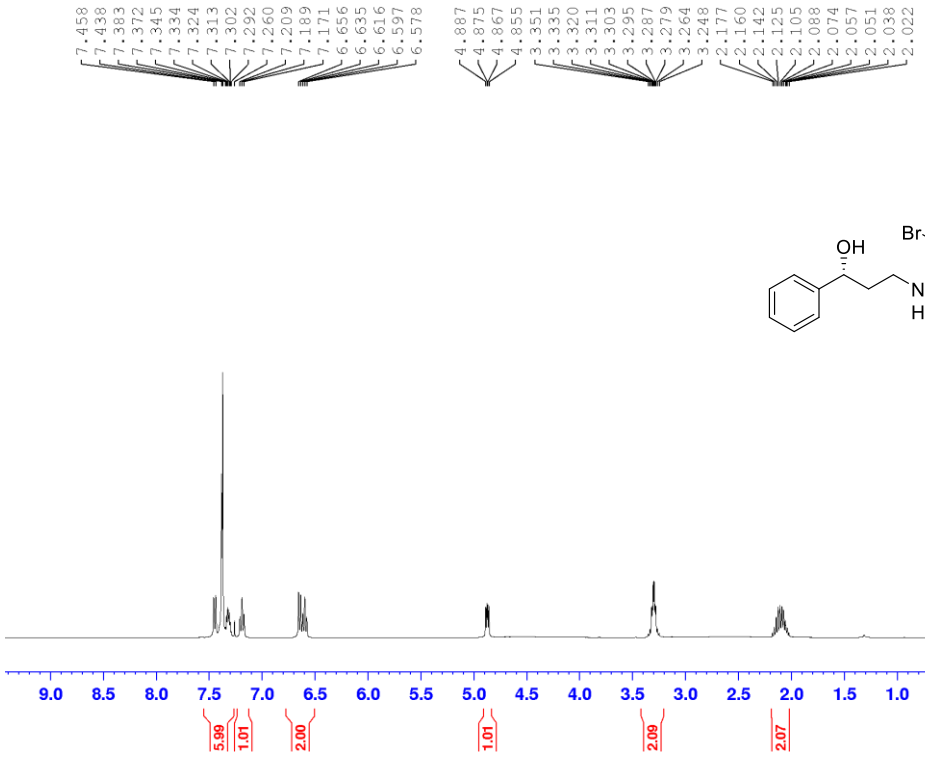
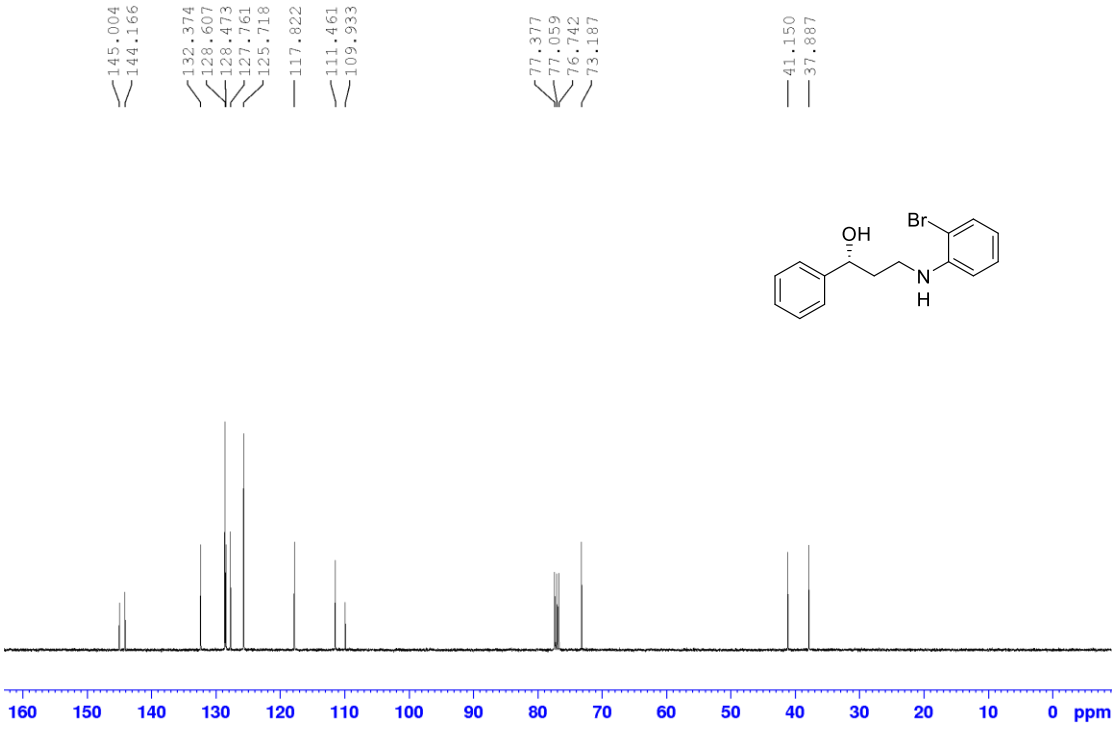


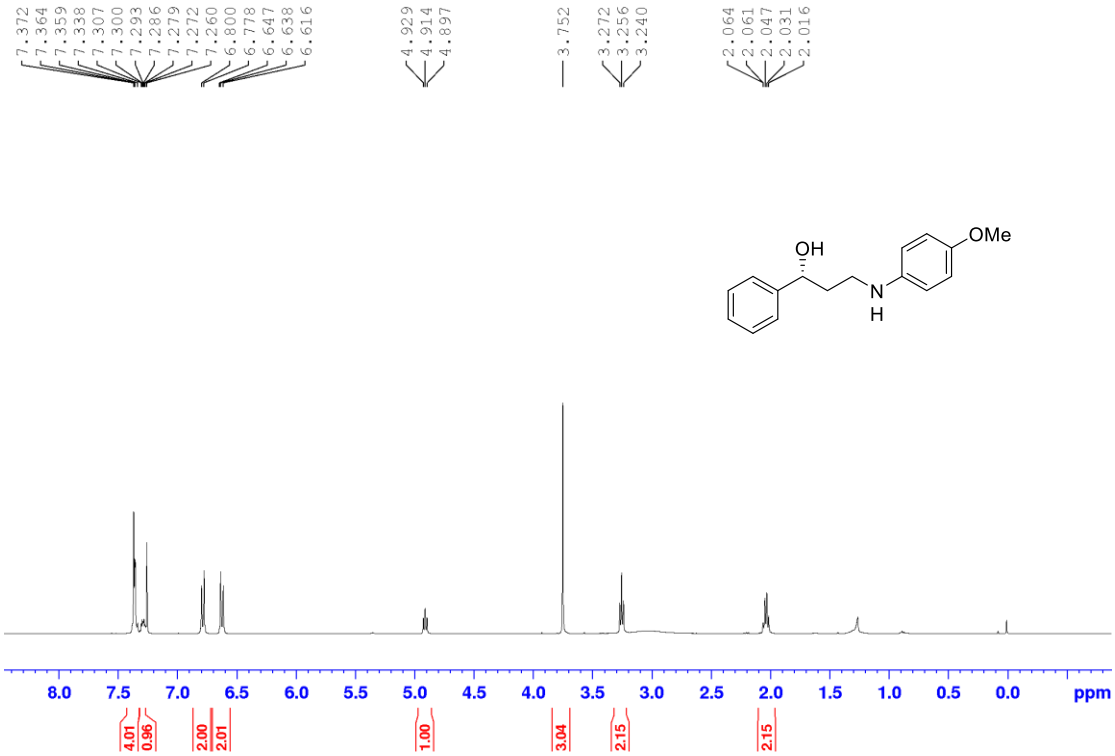
^{13}C NMR of compound **6ao**



^{1}H NMR of compound **6ap**



^{13}C NMR of compound **6ap**



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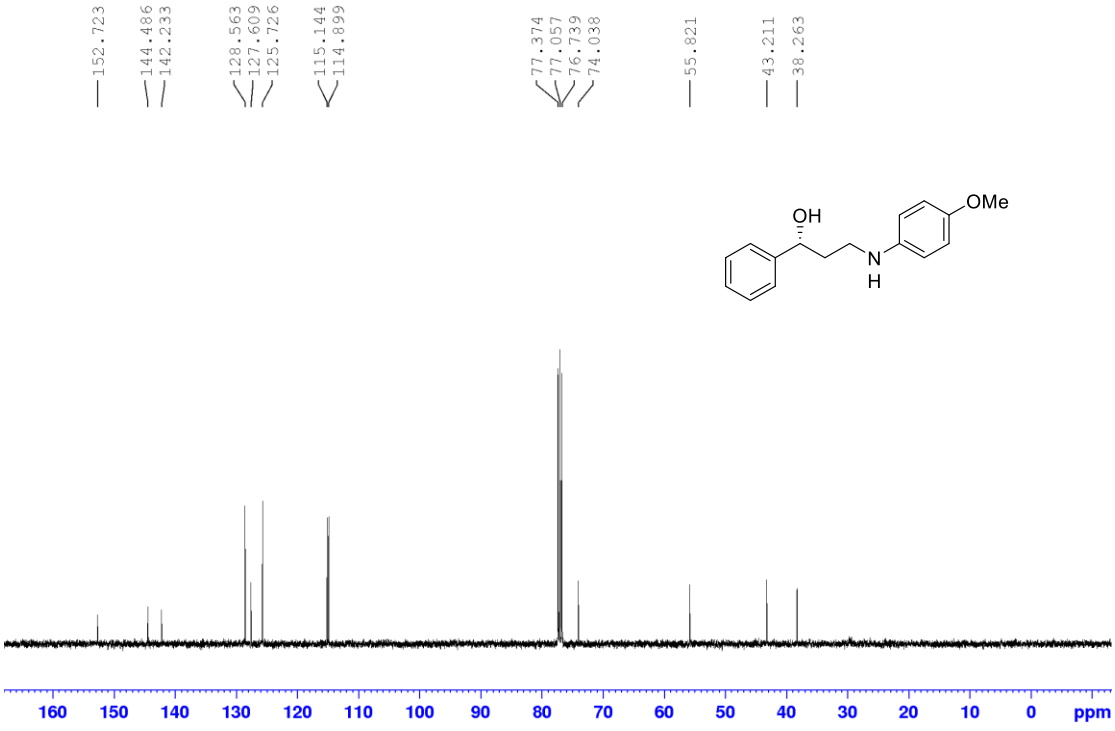

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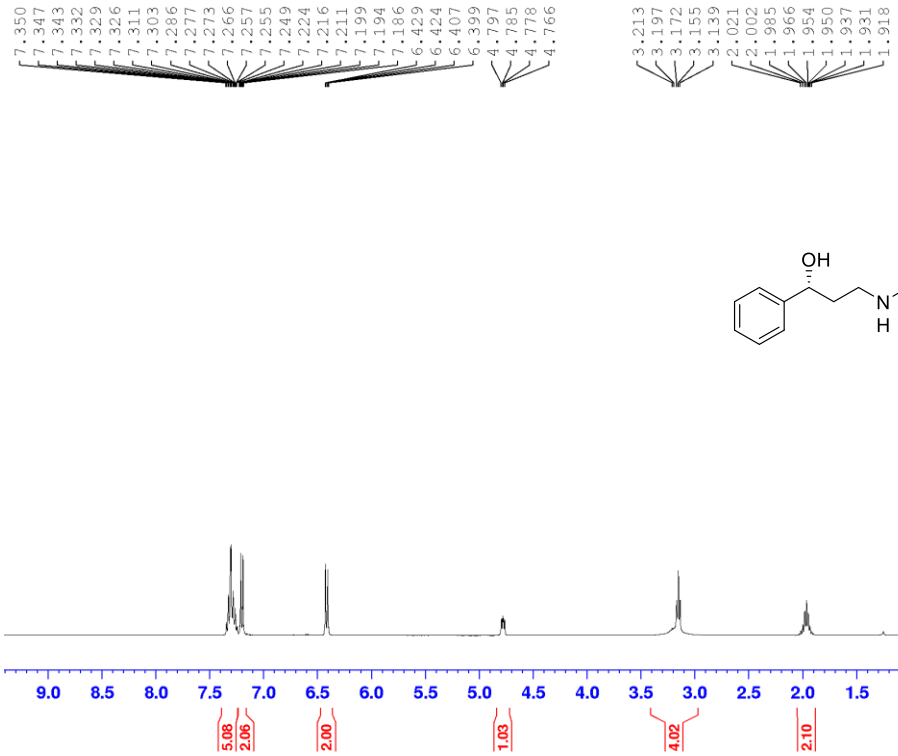
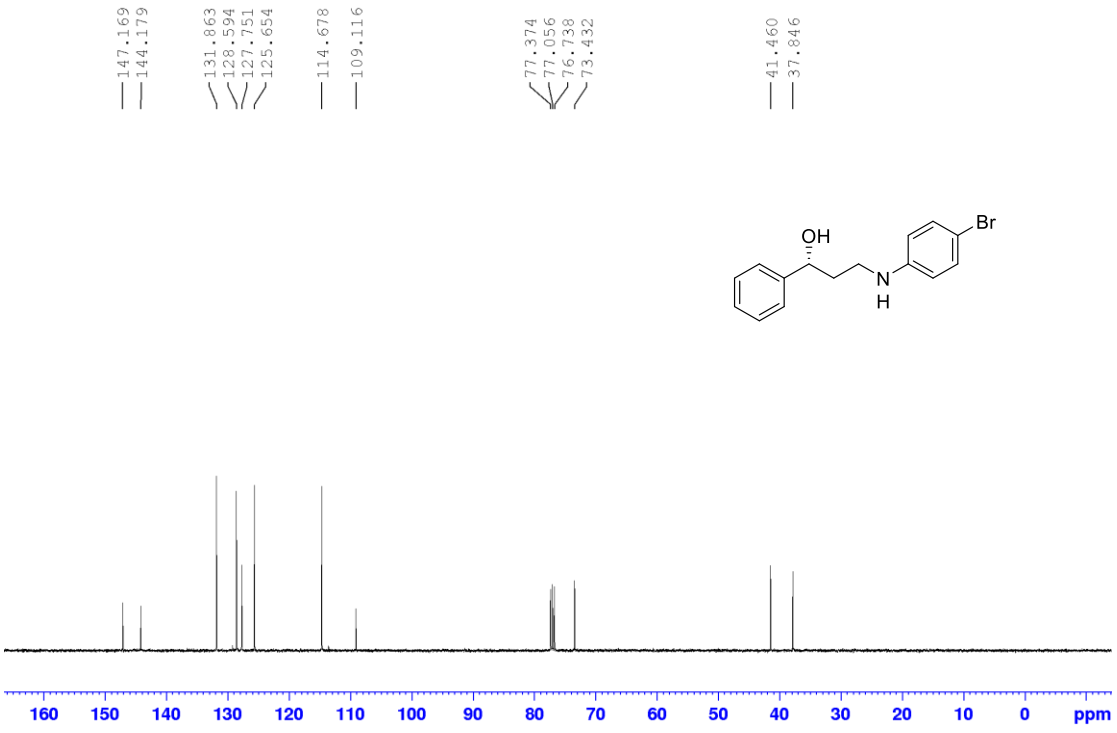
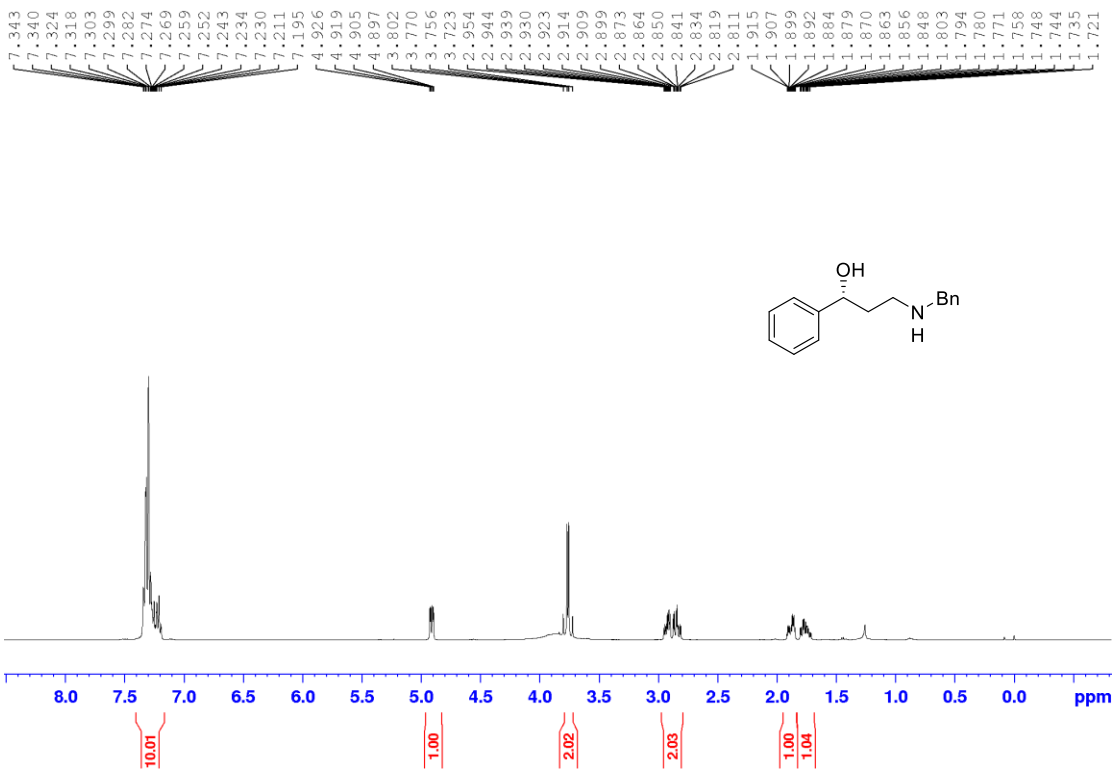


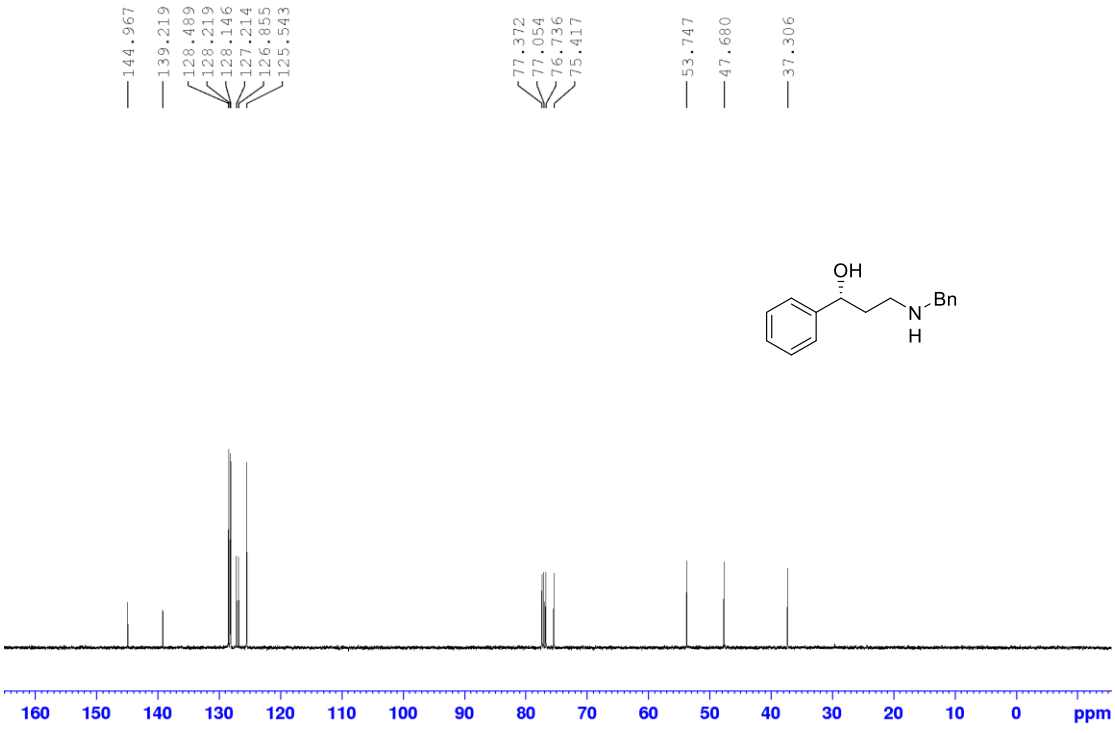
^{1}H NMR of compound **6ar**



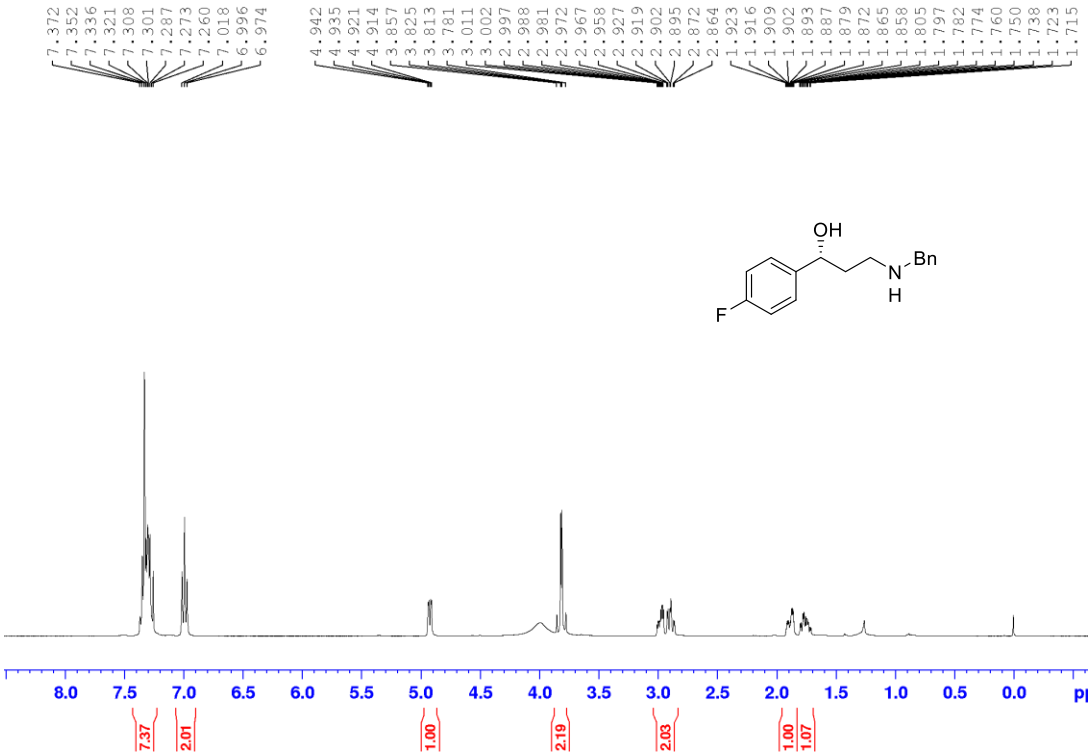
^{13}C NMR of compound **6ar**



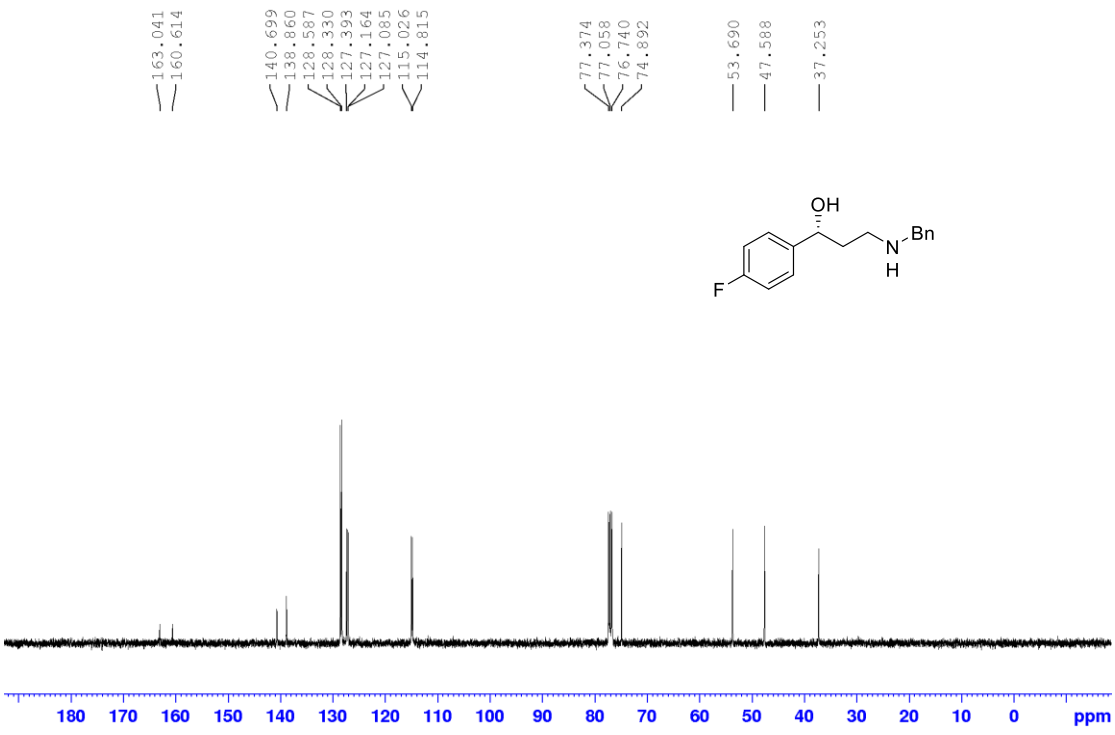
^{1}H NMR of compound **6as**



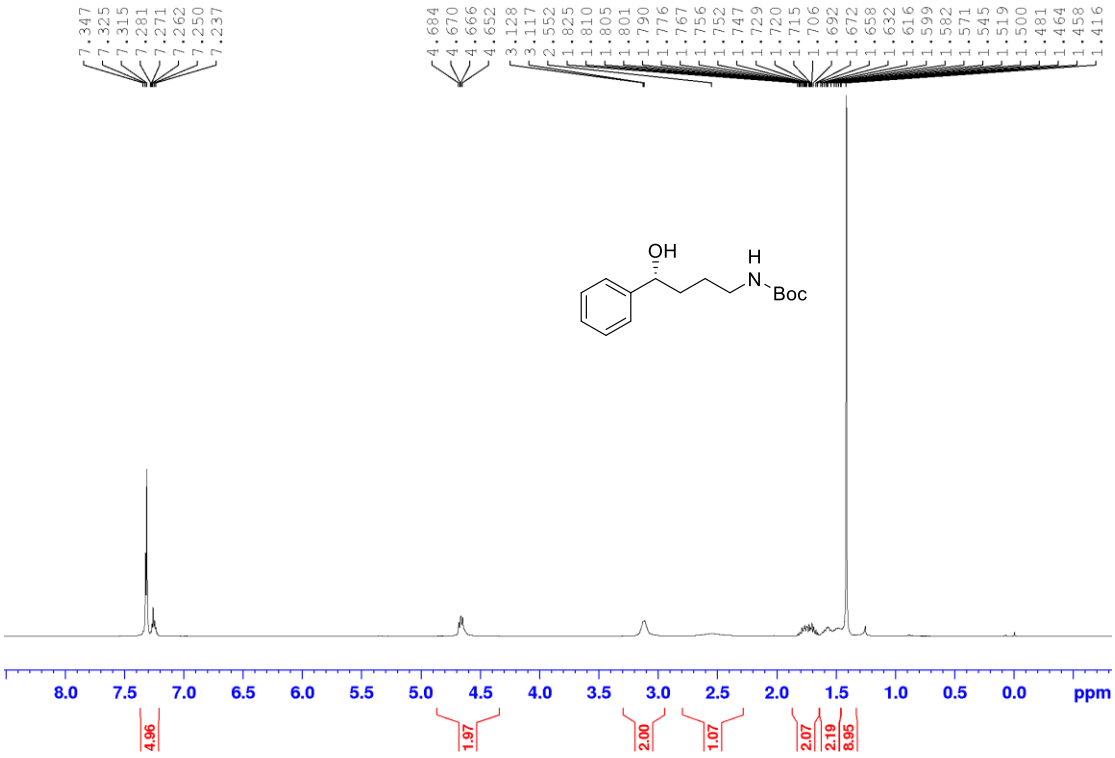
^{13}C NMR of compound **6as**



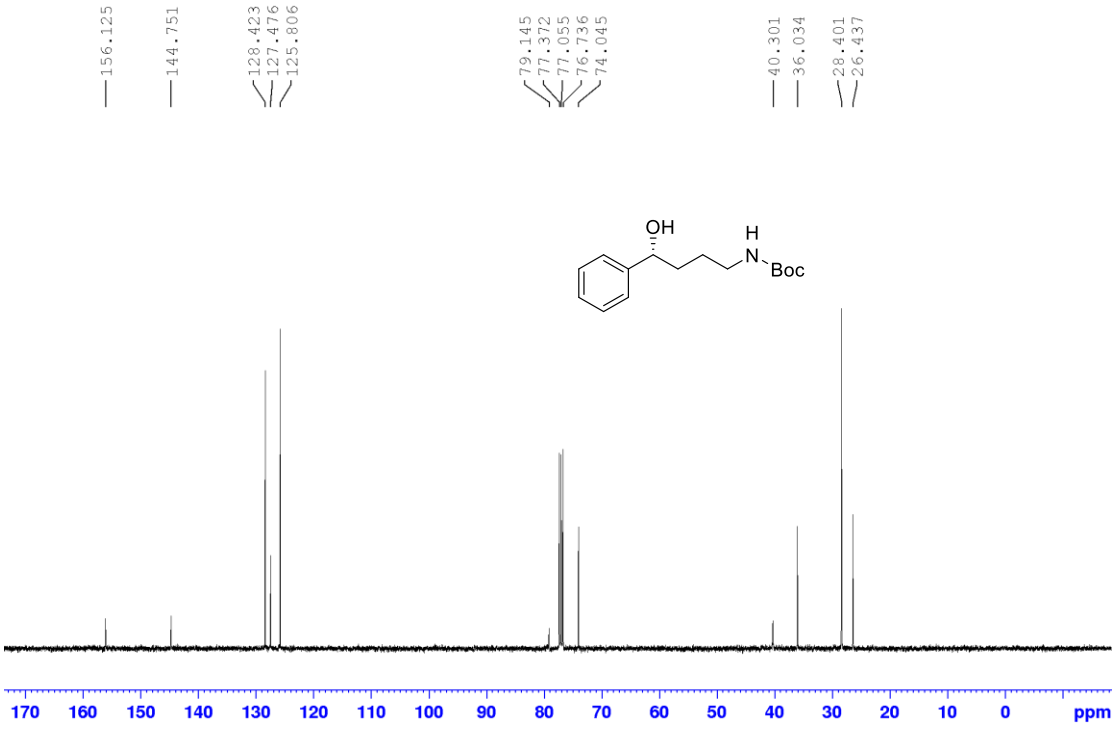
^{1}H NMR of compound **6at**



^{13}C NMR of compound **6at**



^{1}H NMR of compound **6au**



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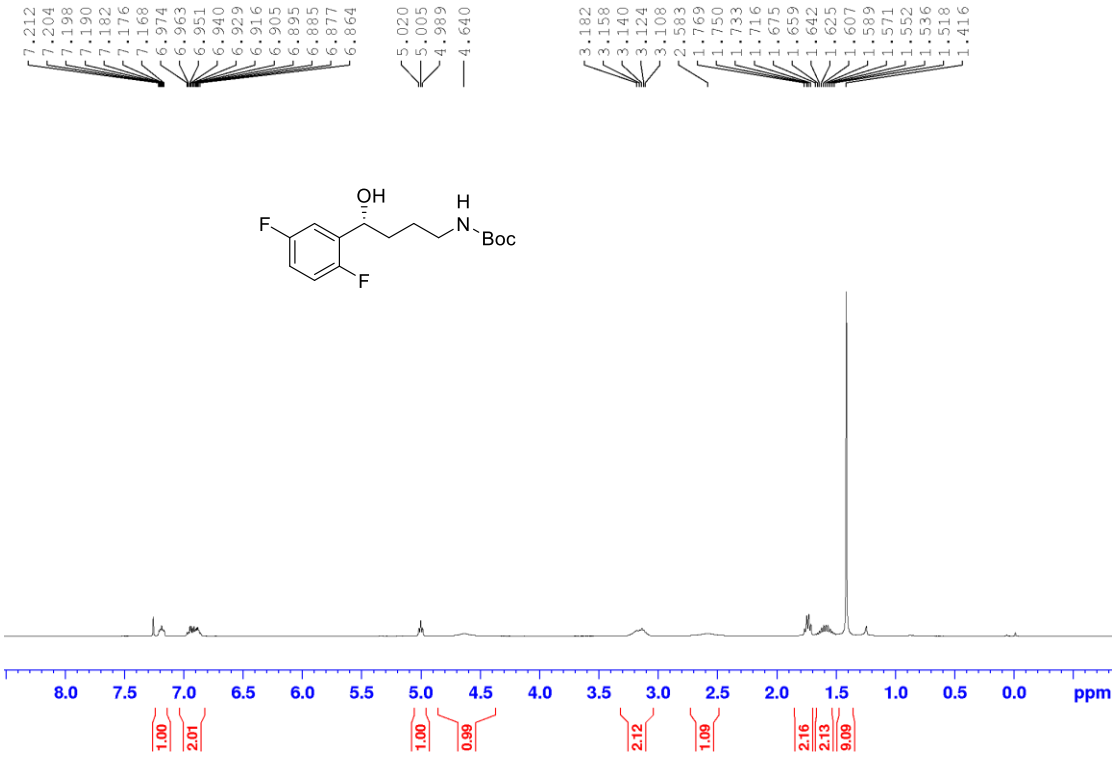

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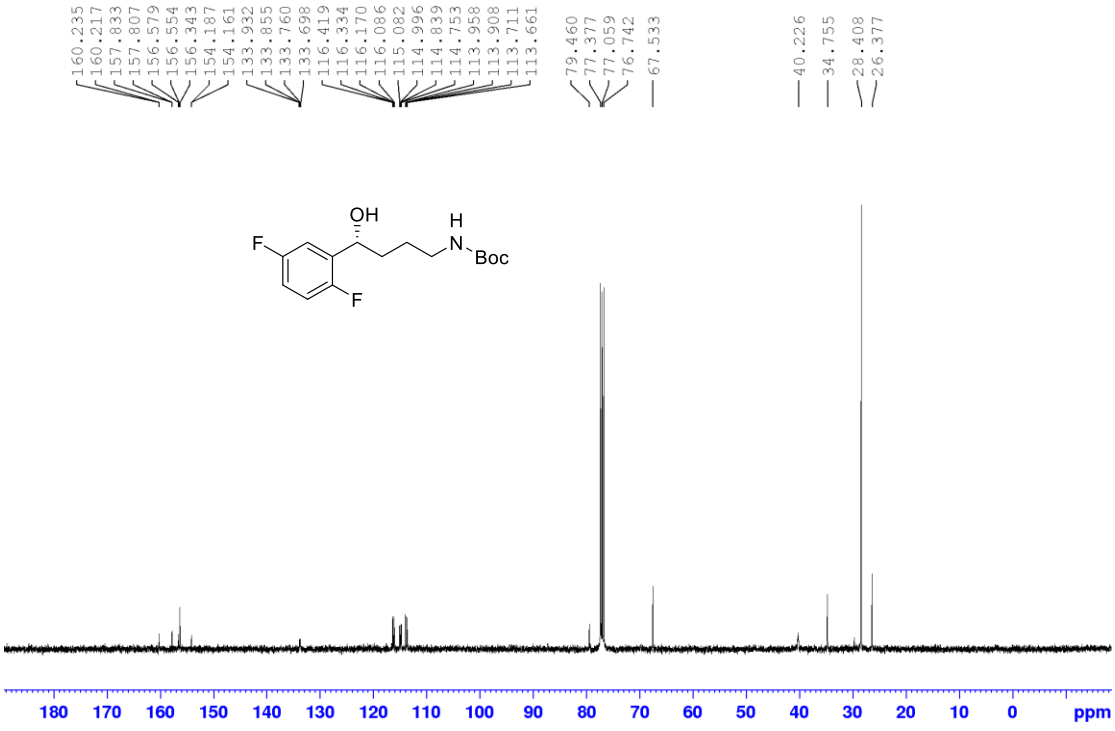
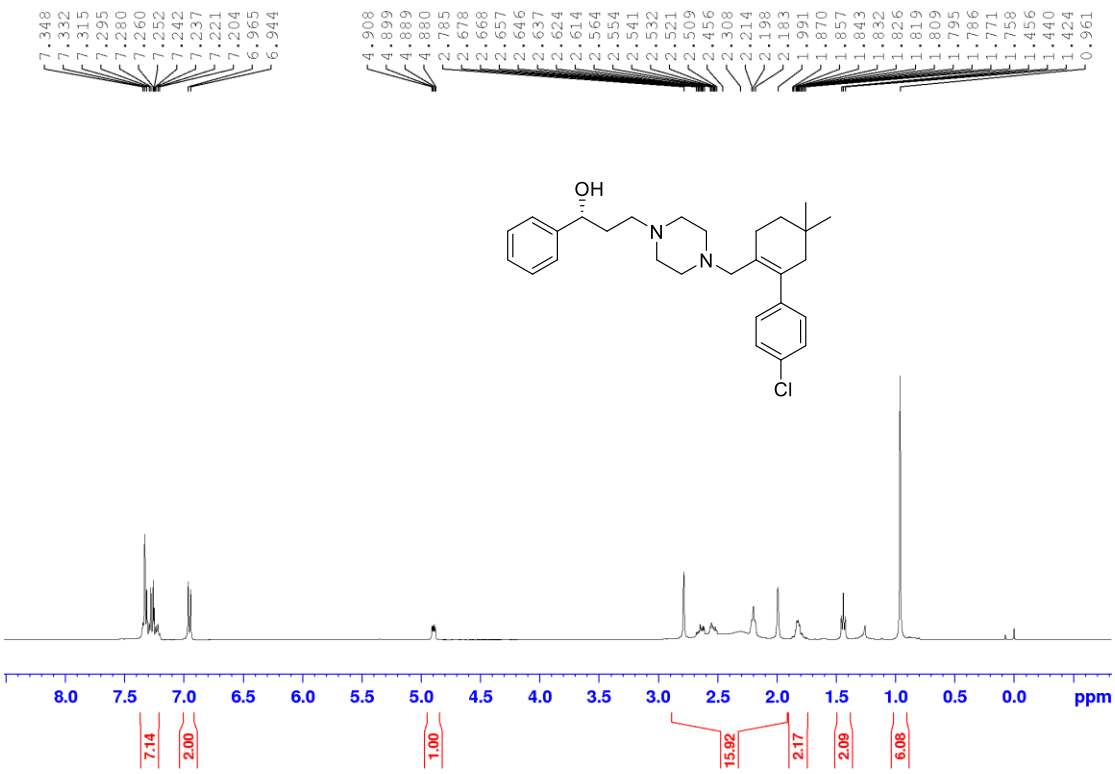
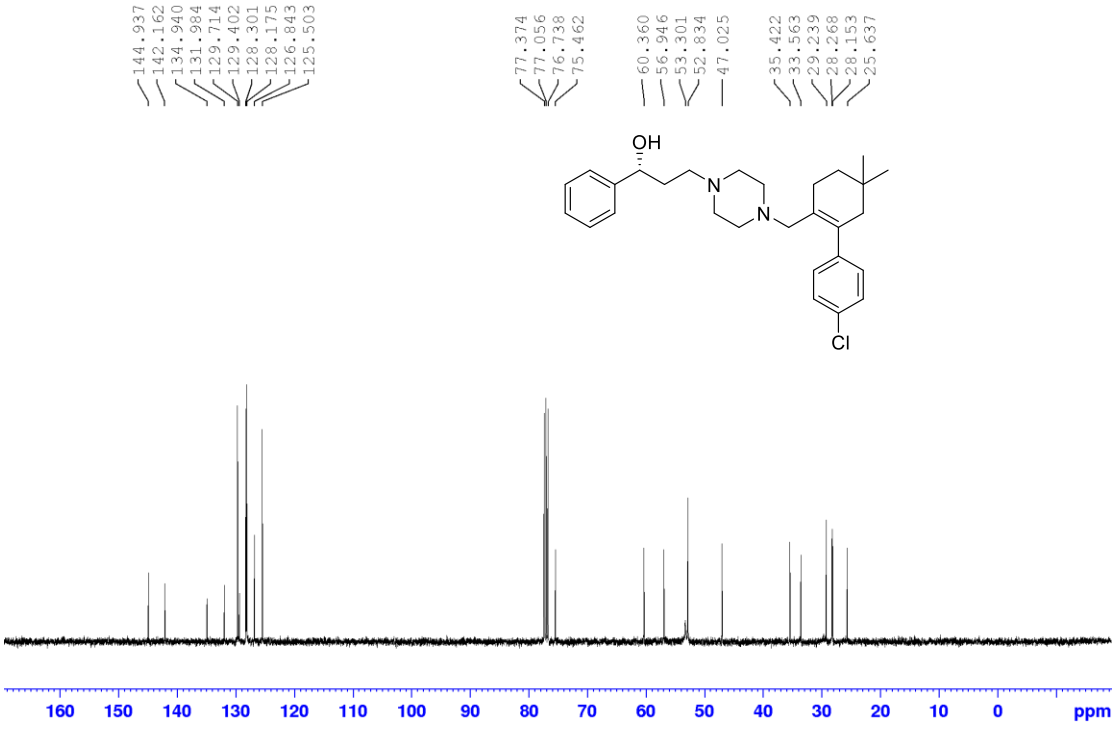


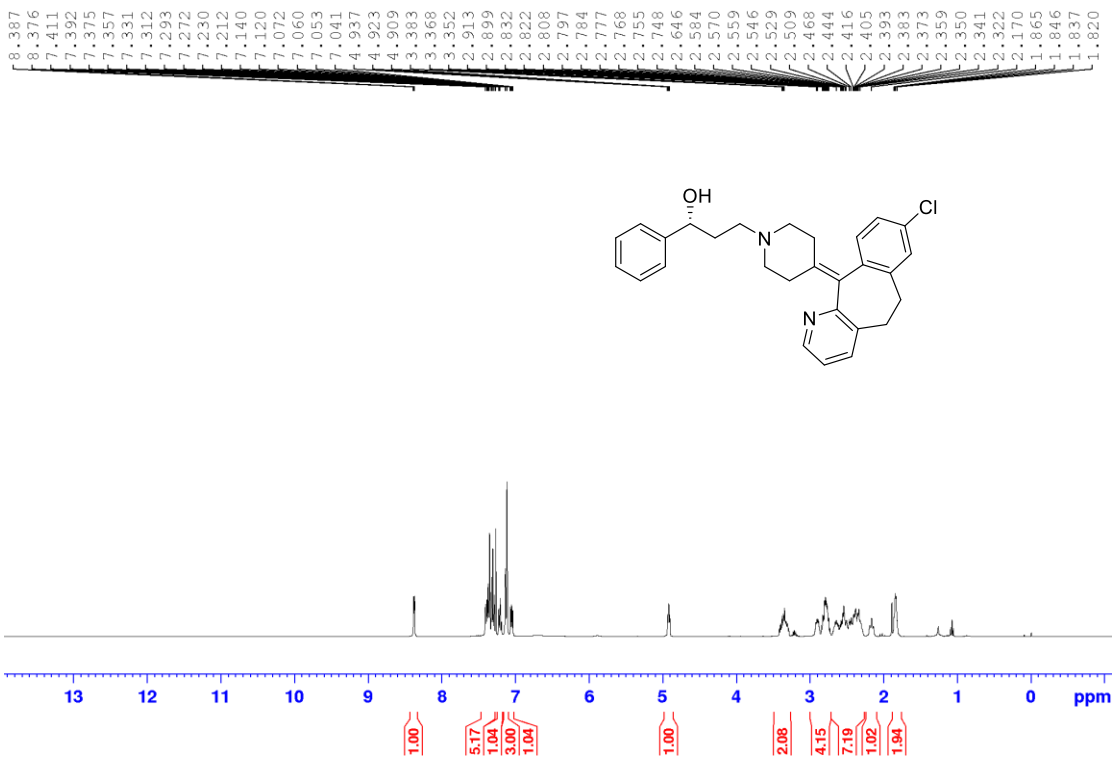
^{13}C NMR of compound **6av**



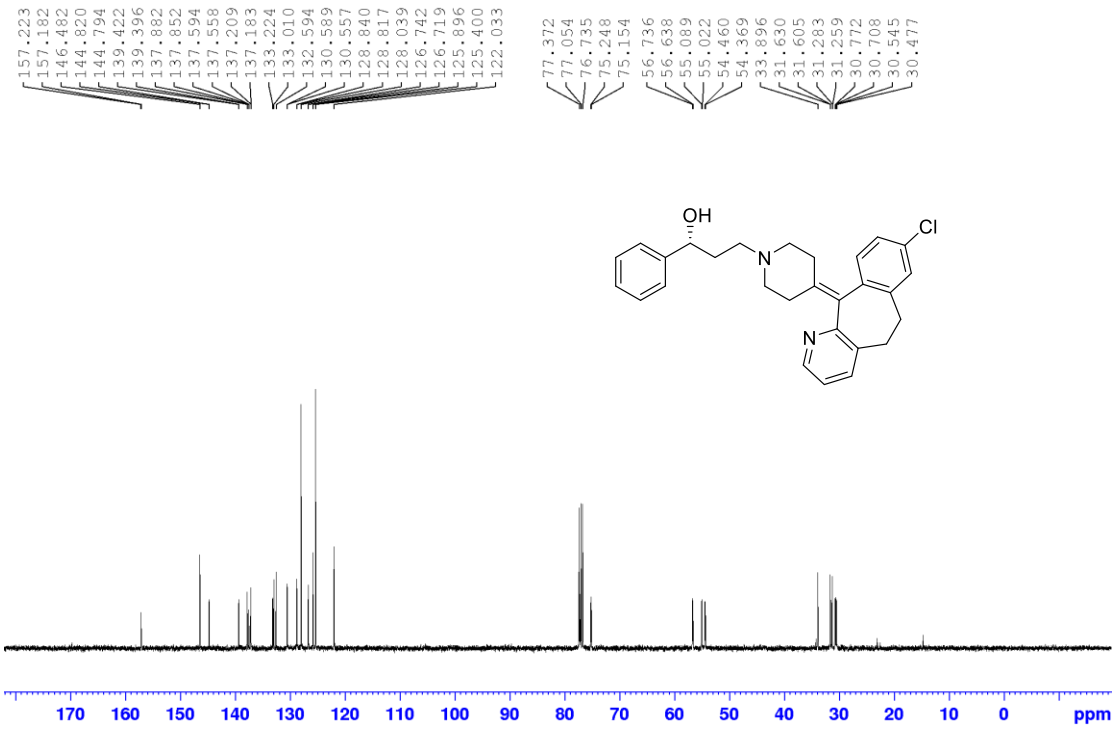
^{1}H NMR of compound **6aw**



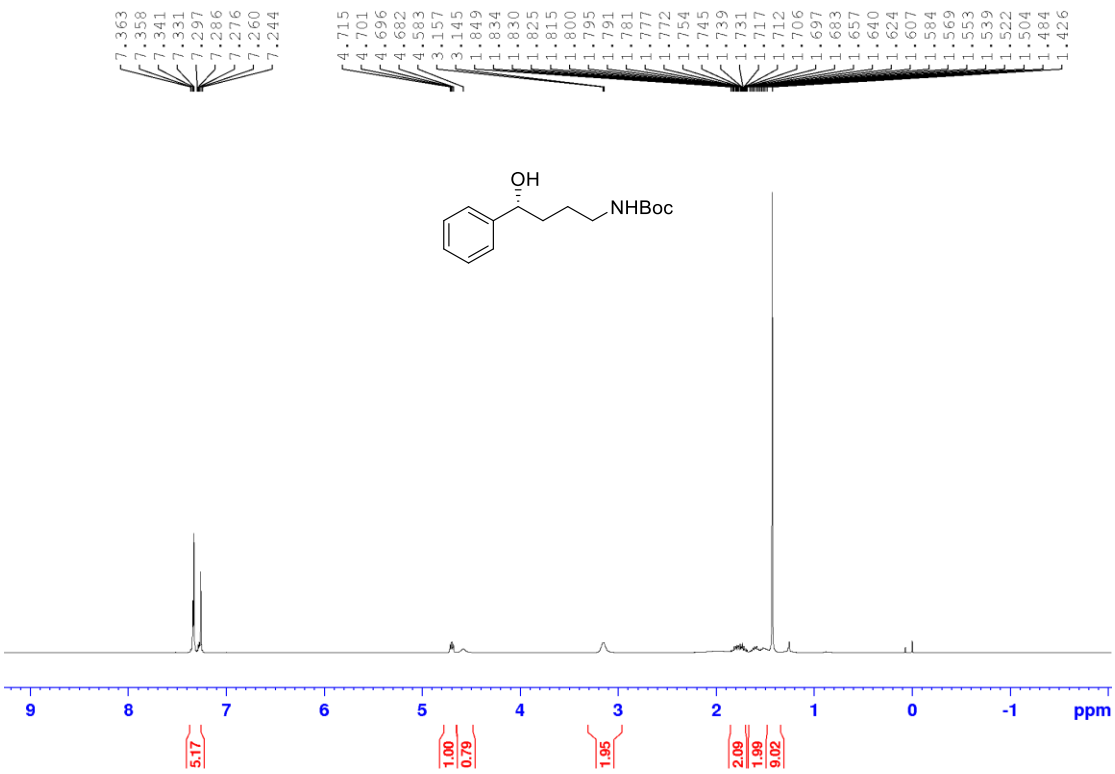
^{13}C NMR of compound **6aw**



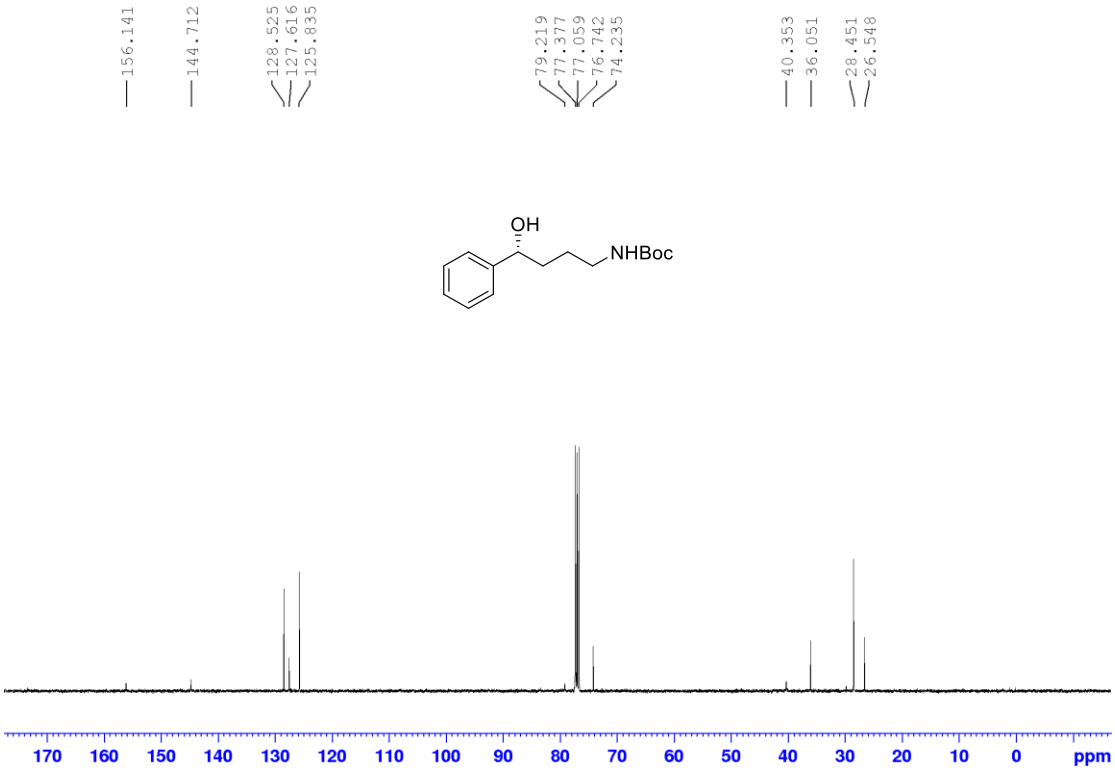
^{1}H NMR of compound **6ax**



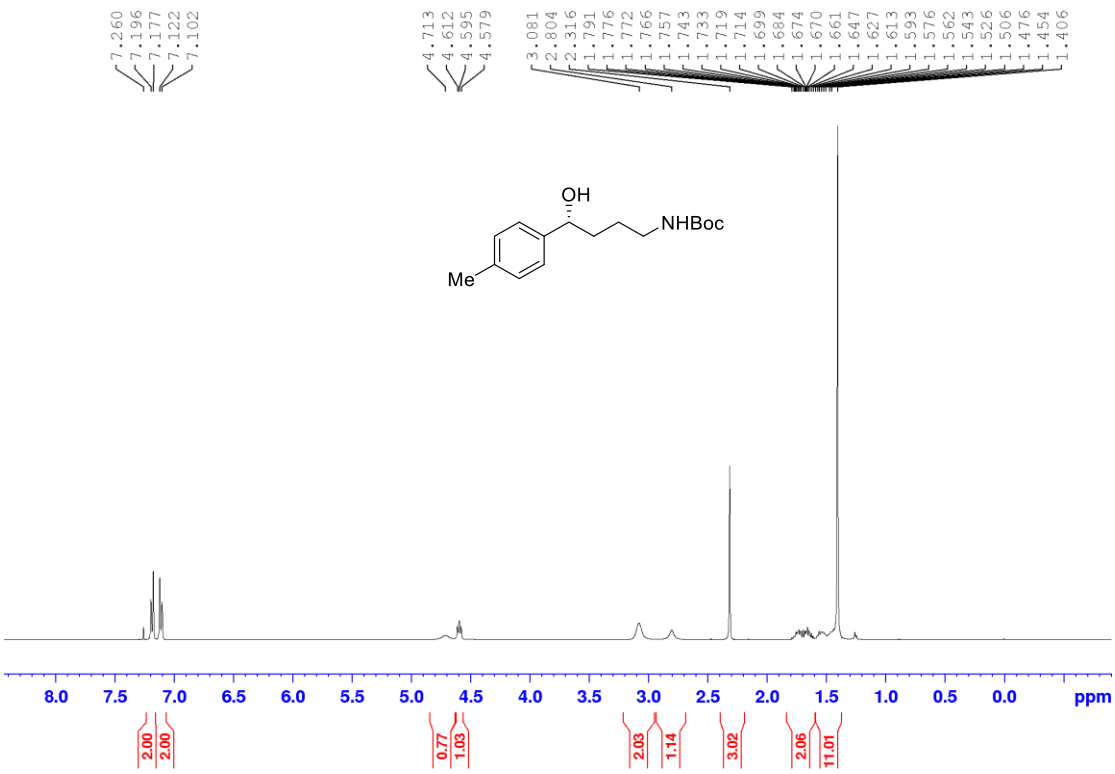
^{13}C NMR of compound **6ax**



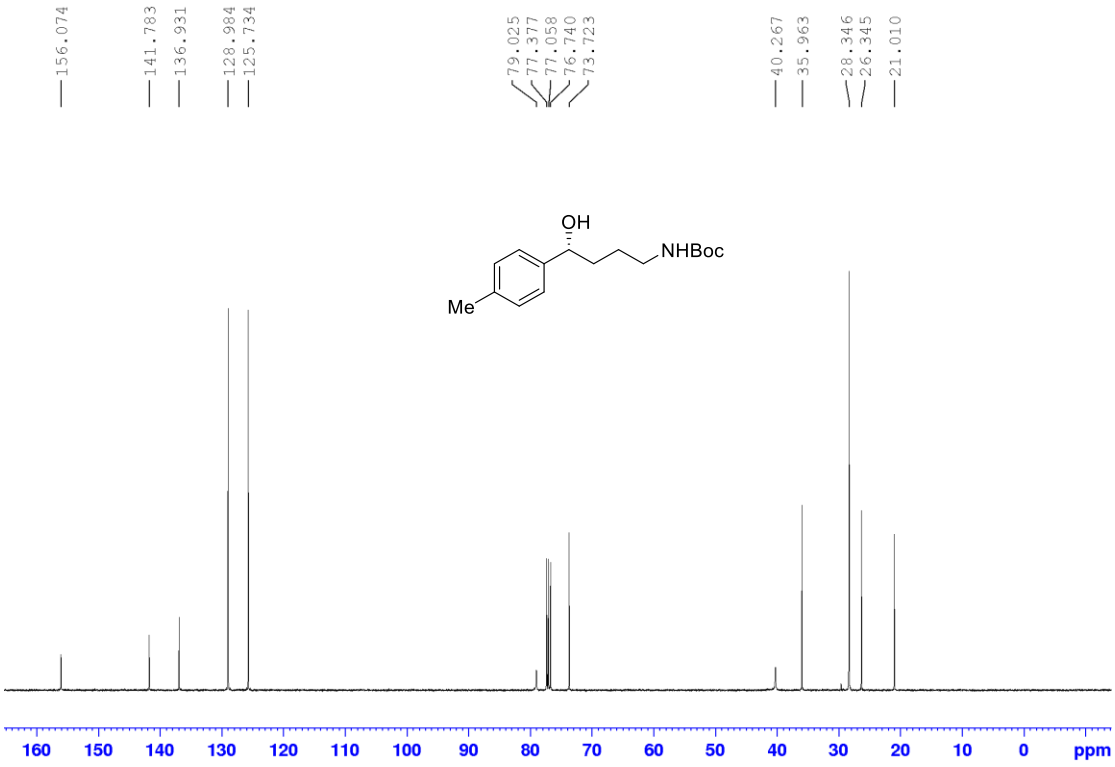
^{1}H NMR of compound **6au** (Synthesis via ATH)



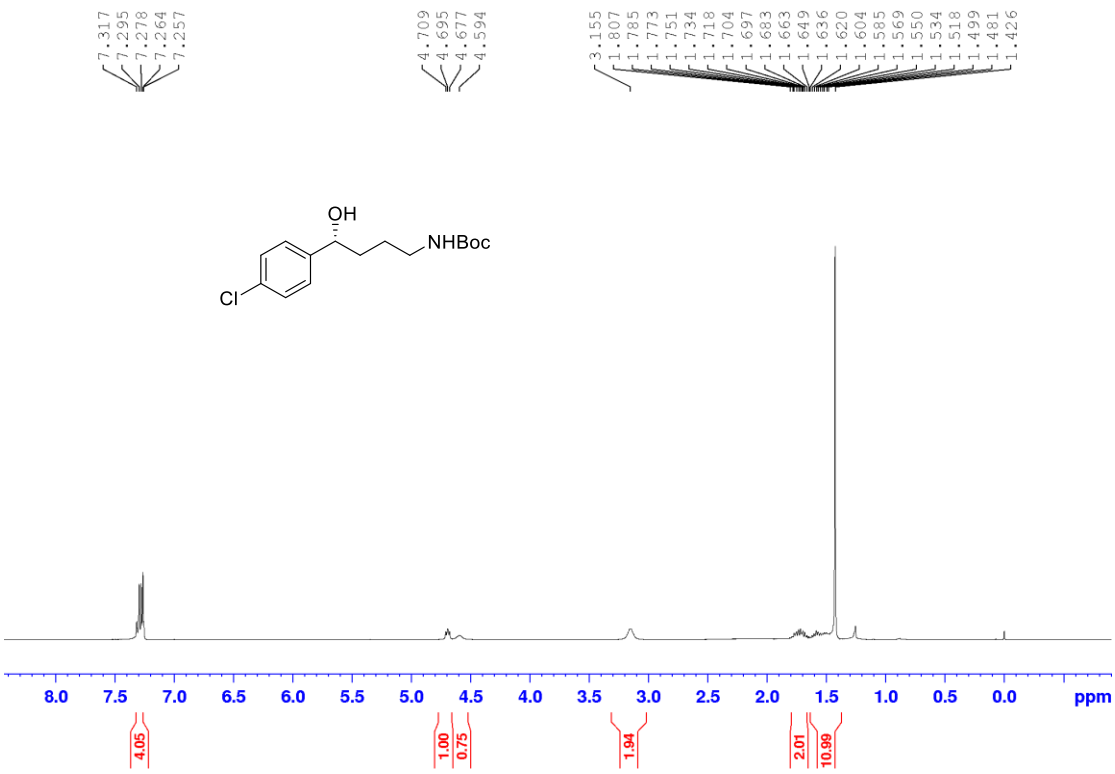
^{13}C NMR of compound **6au** (Synthesis via ATH)



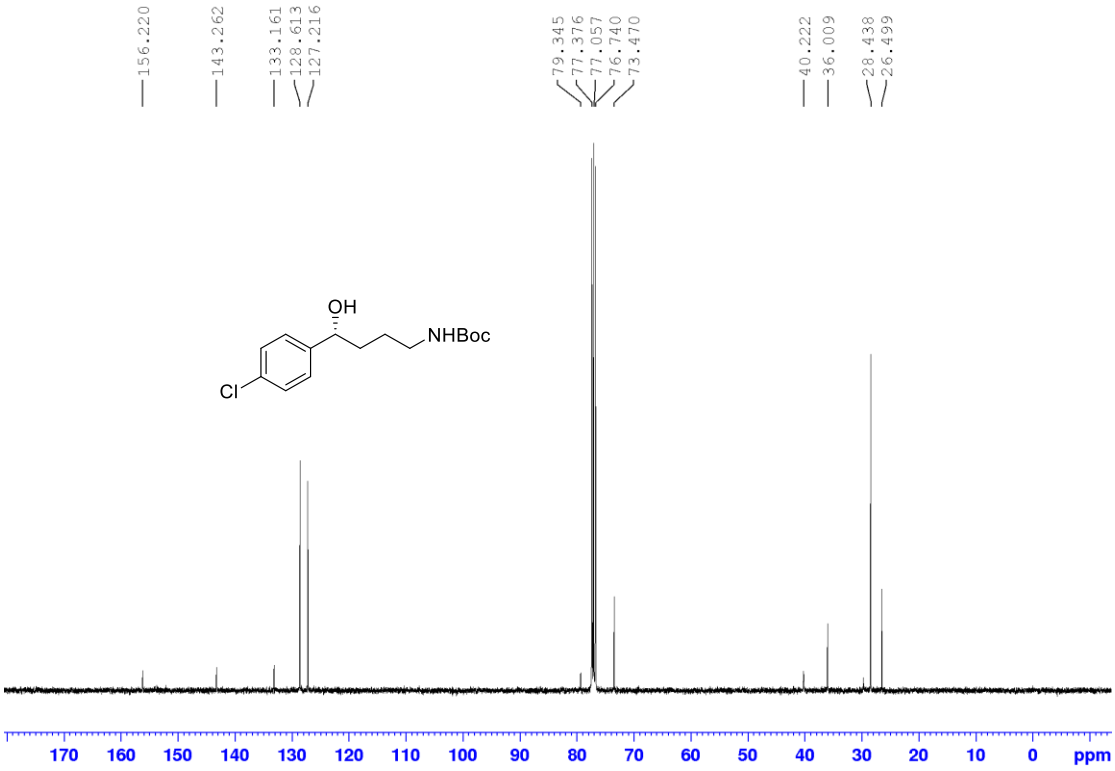
^{1}H NMR of compound **8a**



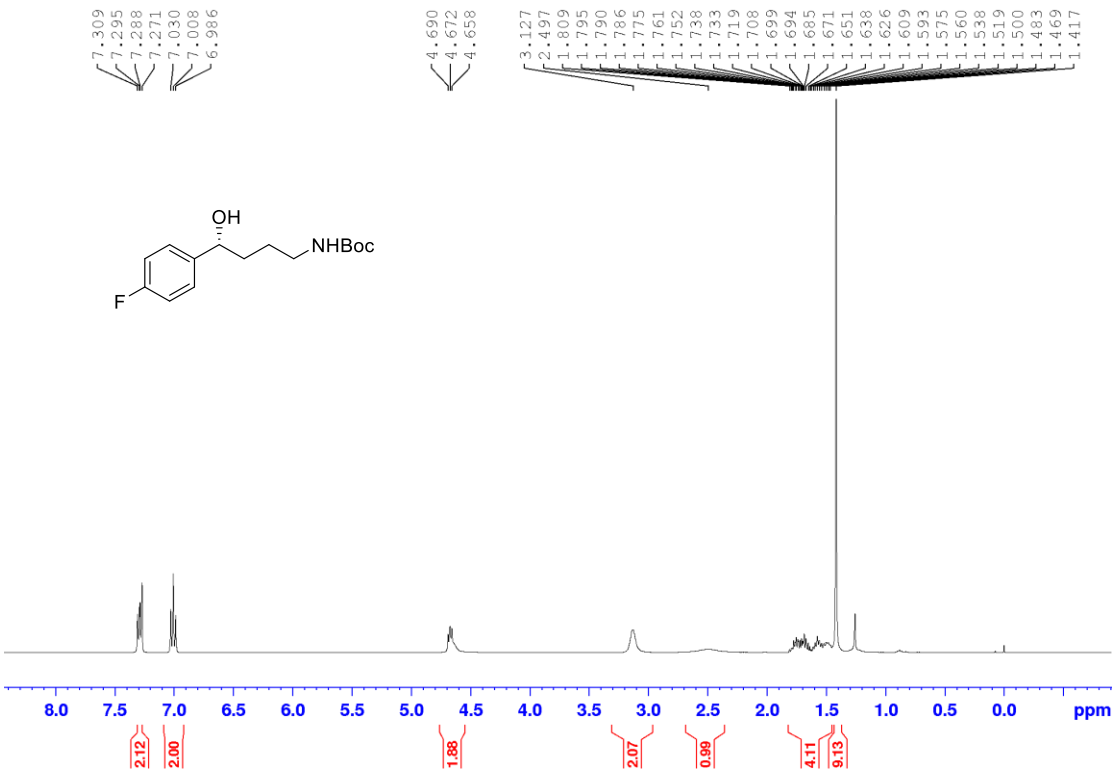
^{13}C NMR of compound **8a**



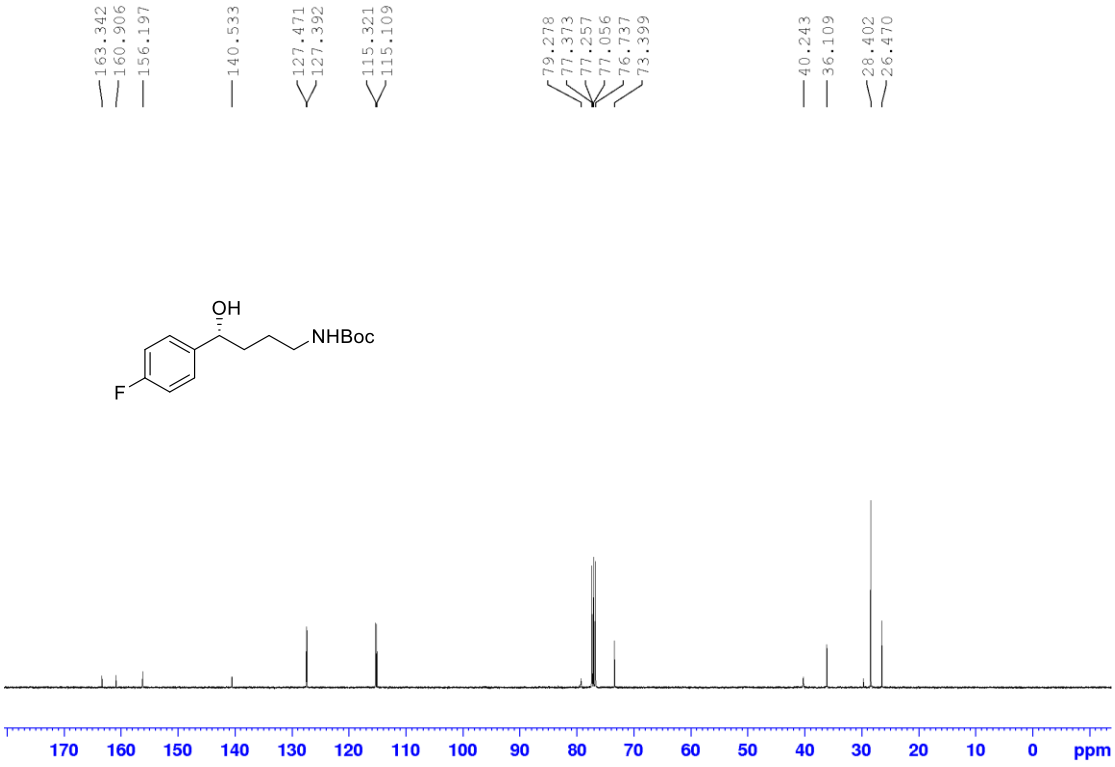
^{1}H NMR of compound **8b**



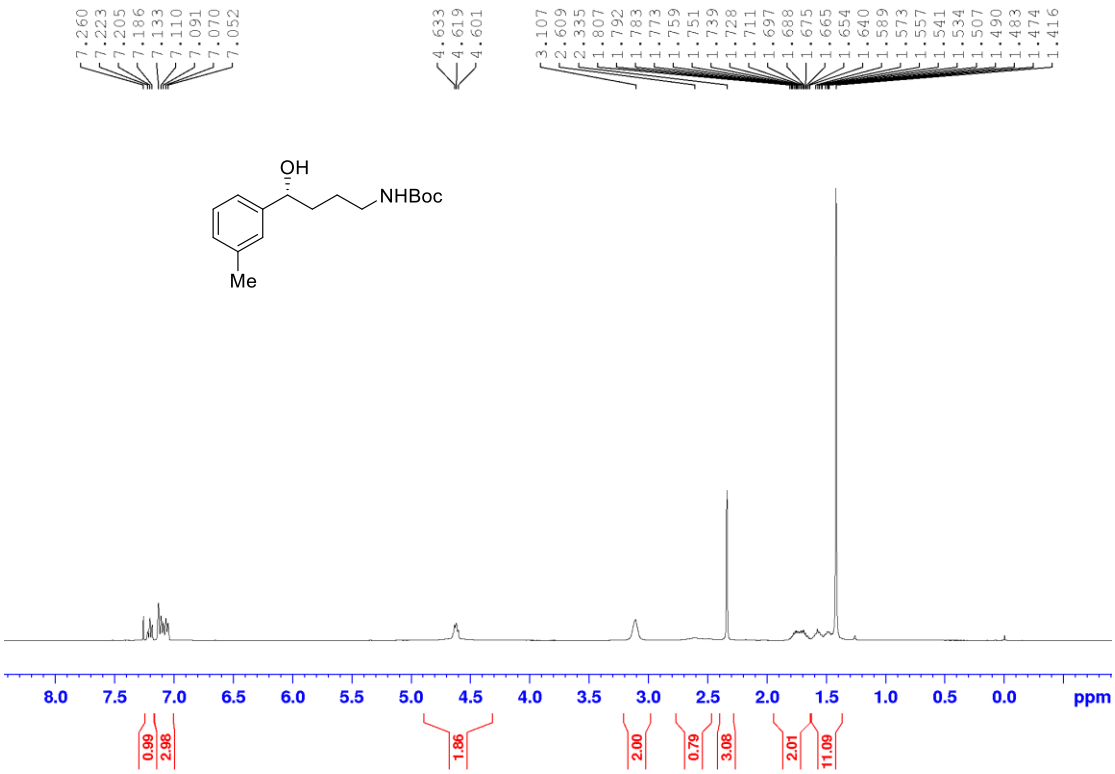
^{13}C NMR of compound **8b**



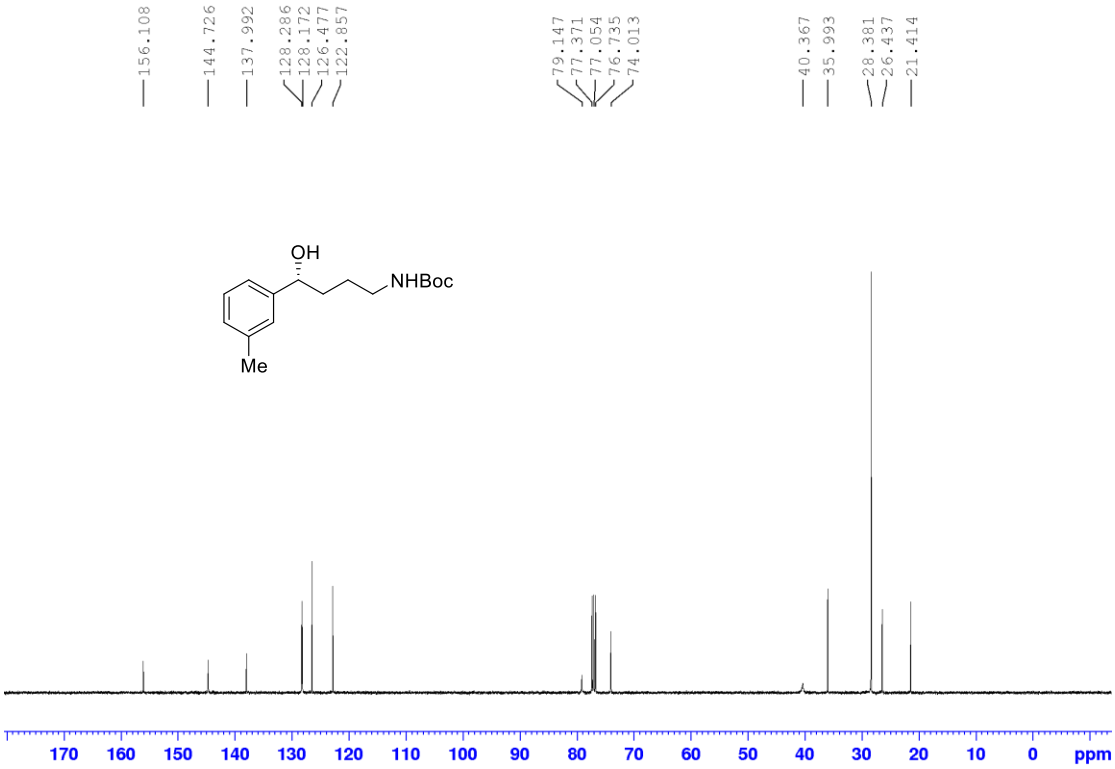
^{1}H NMR of compound **8c**



^{13}C NMR of compound **8c**



^{1}H NMR of compound **8d**



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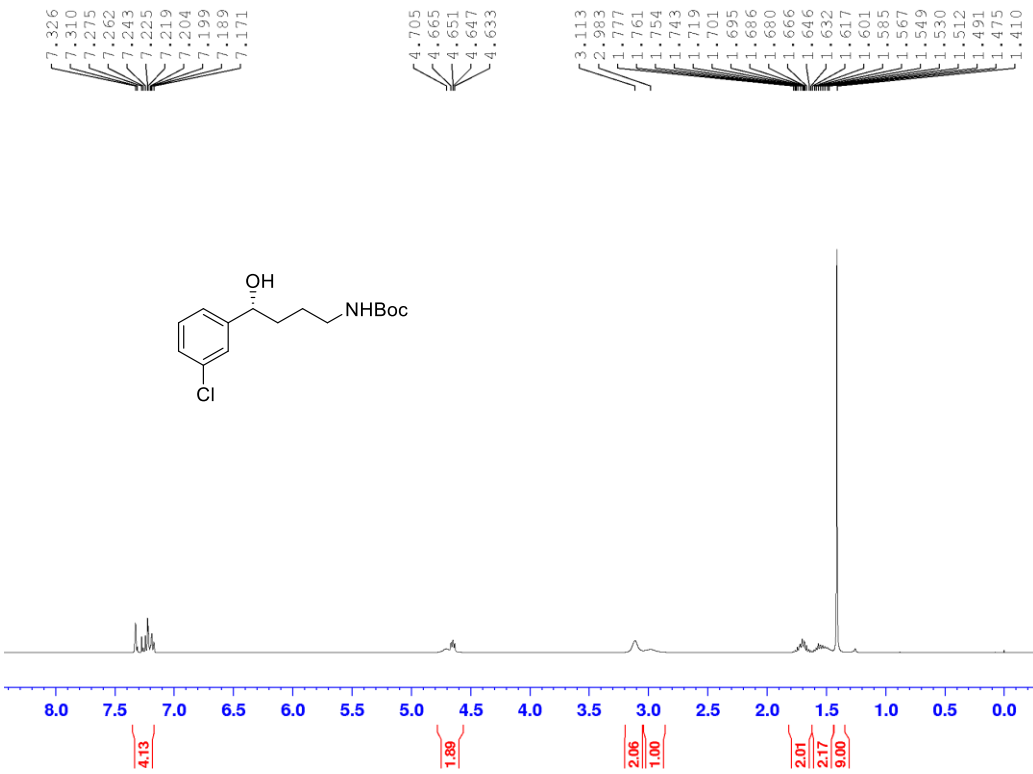

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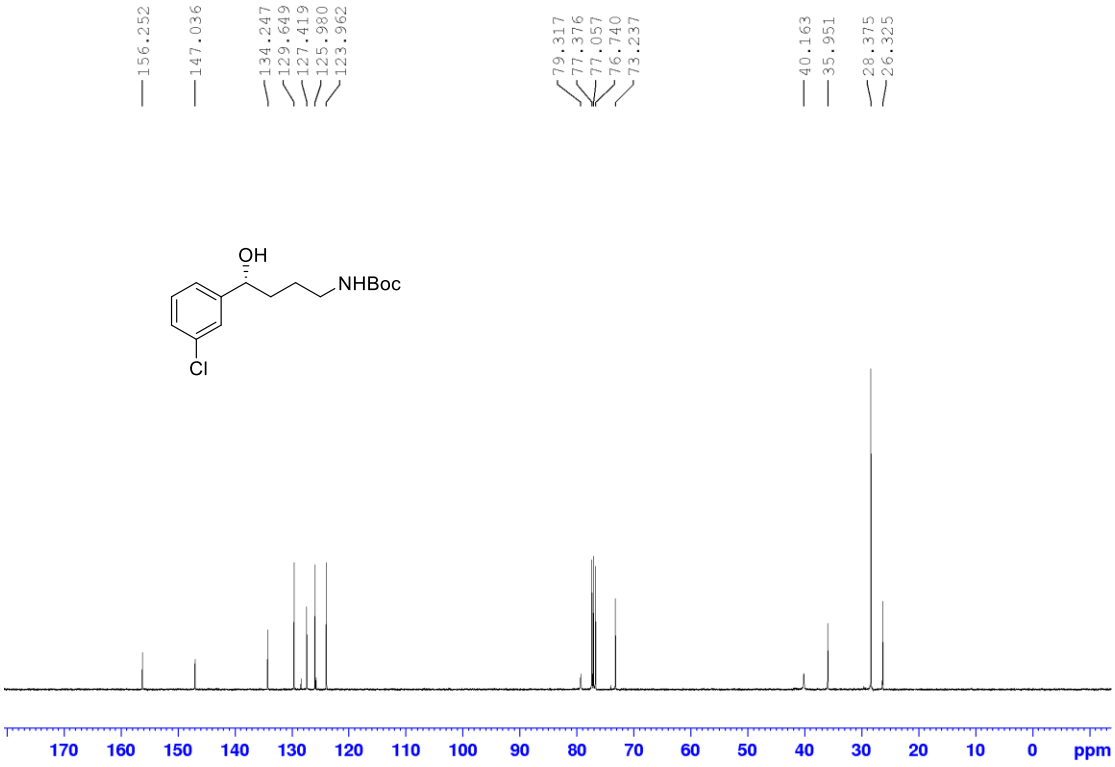

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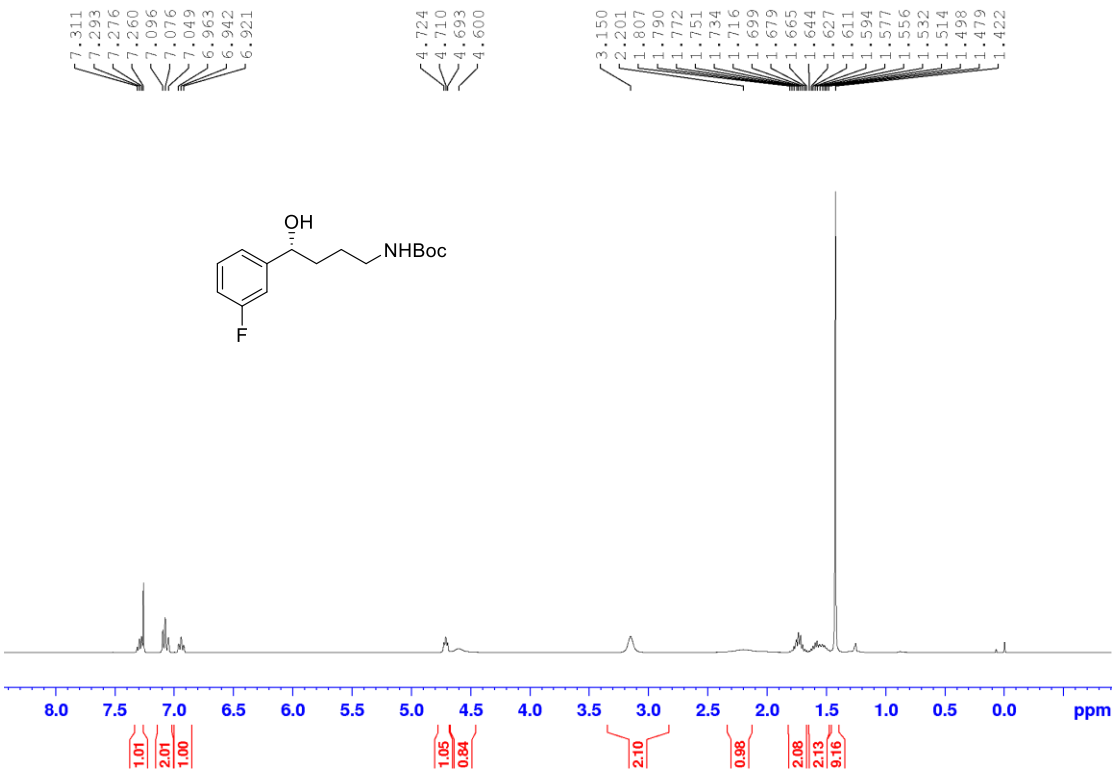
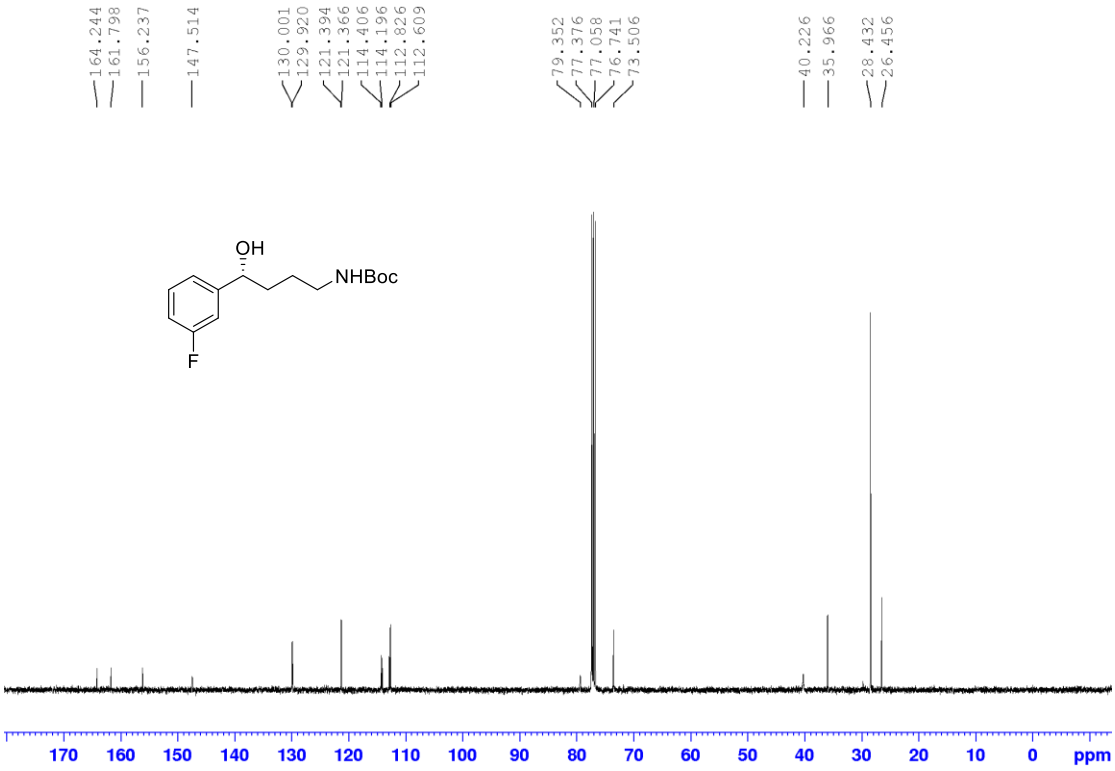
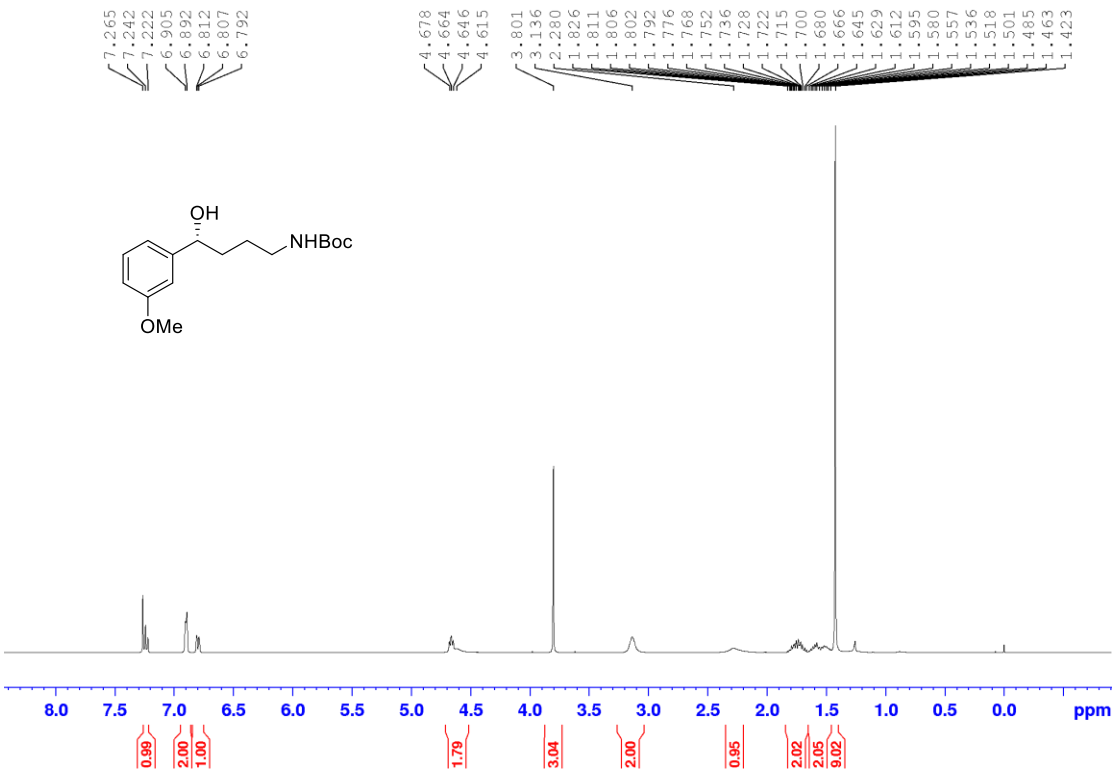


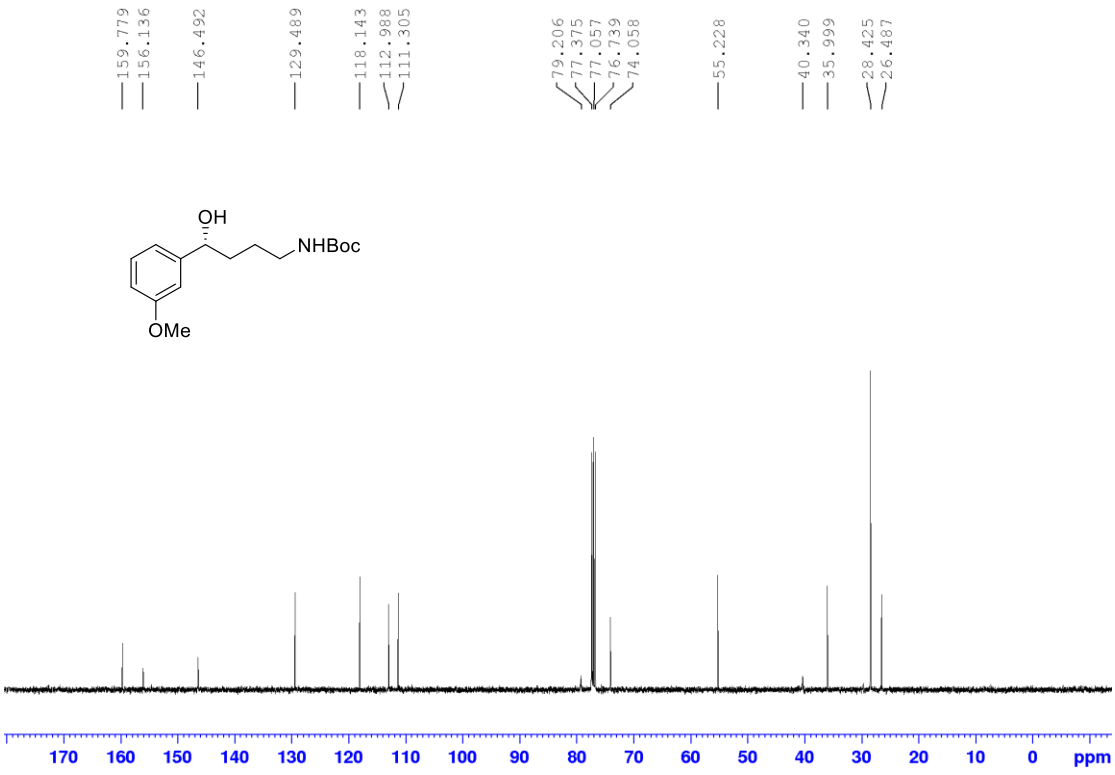
^{1}H NMR of compound **8f**



^{13}C NMR of compound **8f**



^{1}H NMR of compound **8g**



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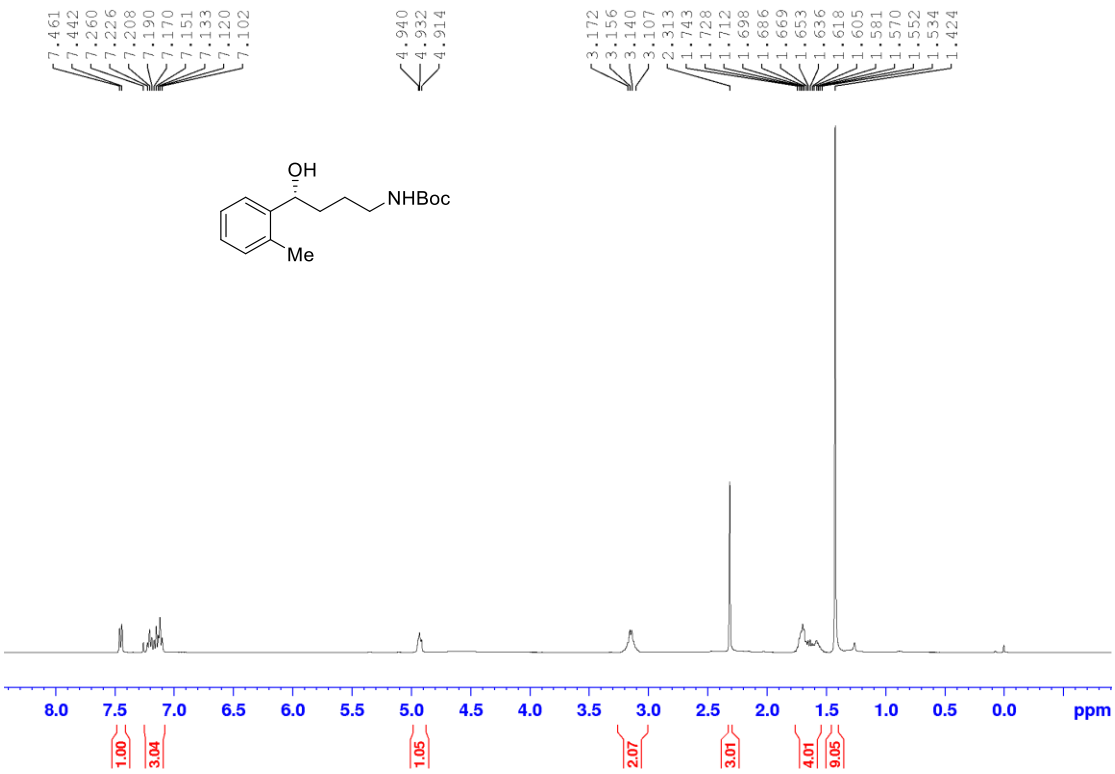
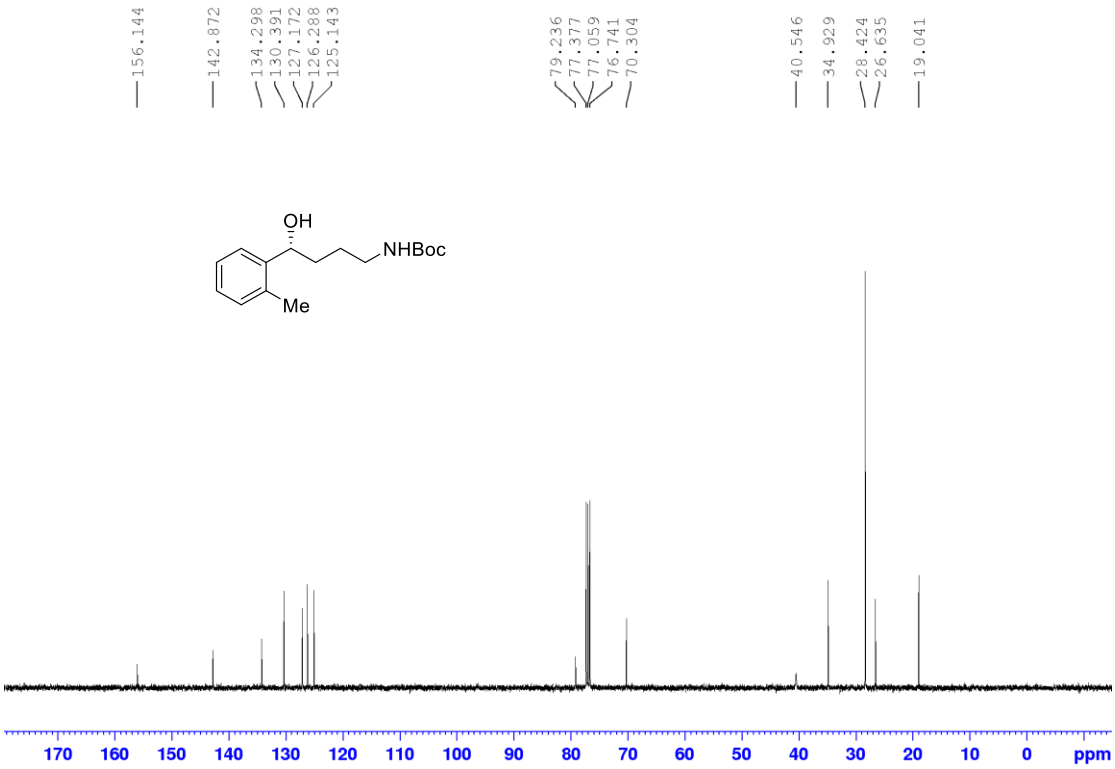


^{1}H NMR of compound **8h**



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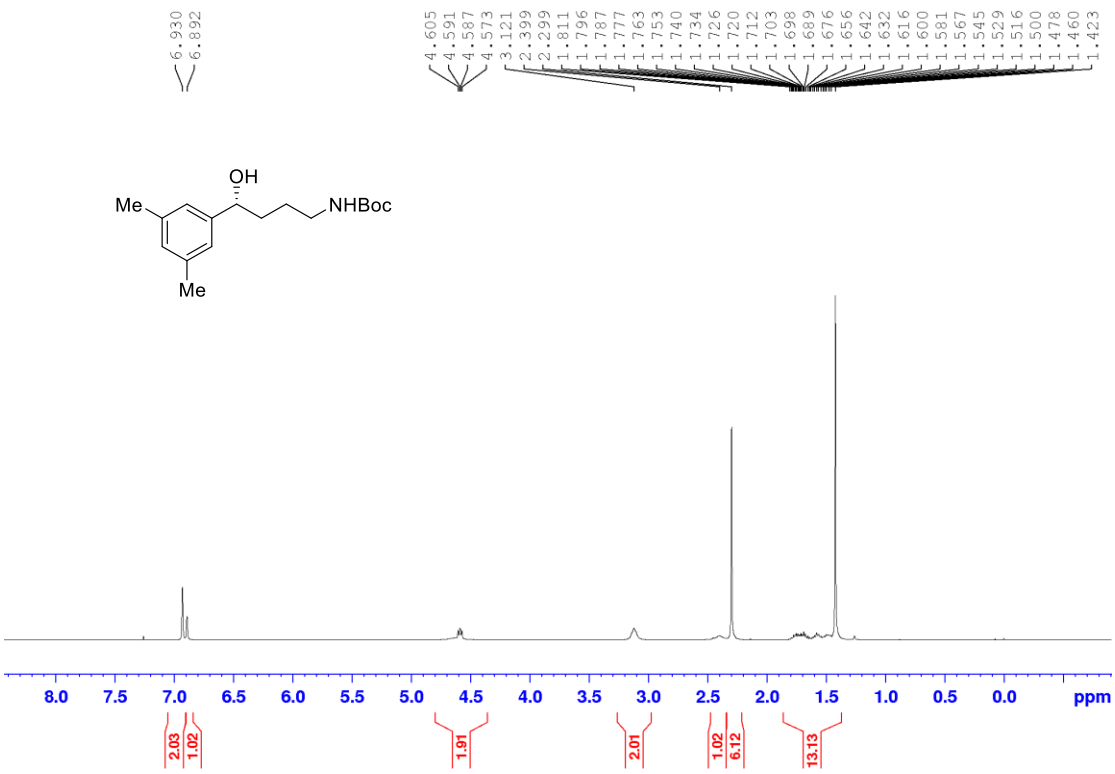
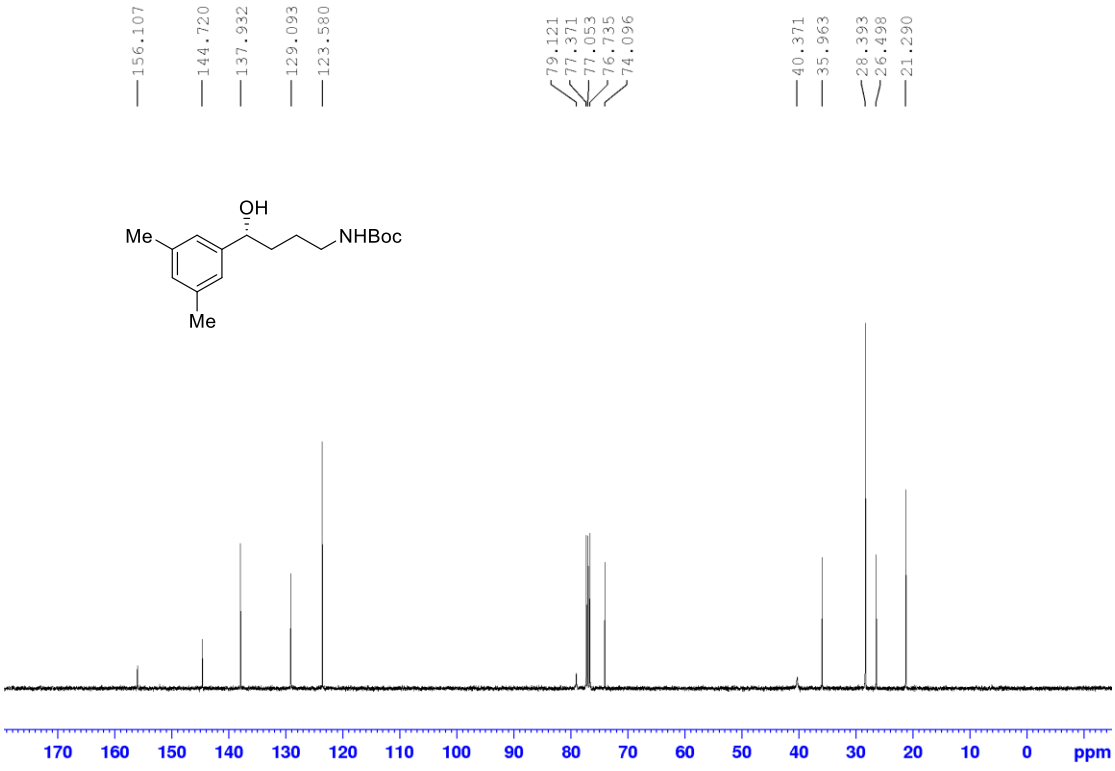
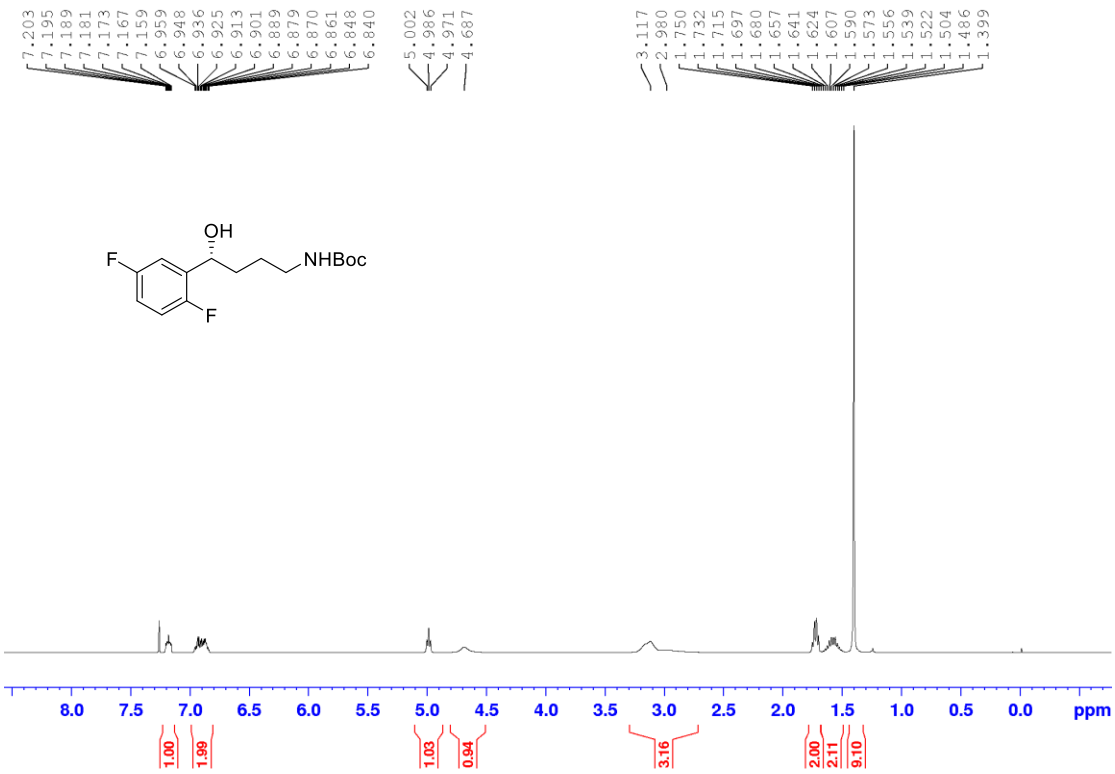


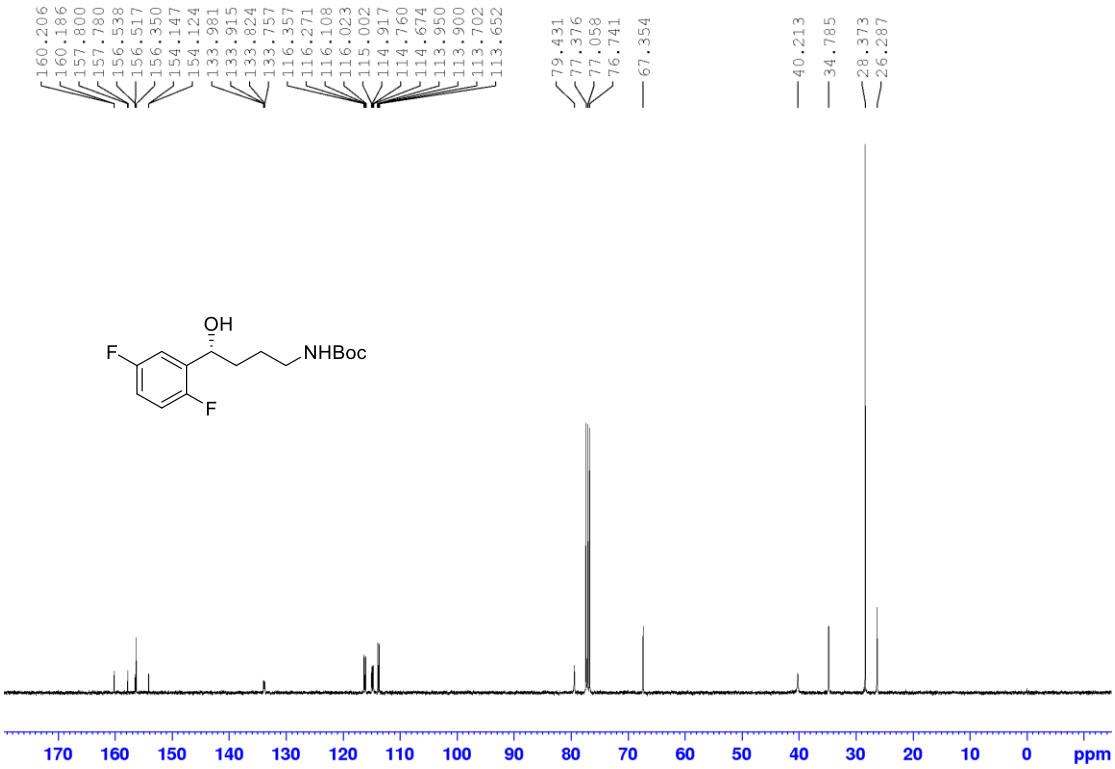
^{1}H NMR of compound **8i**



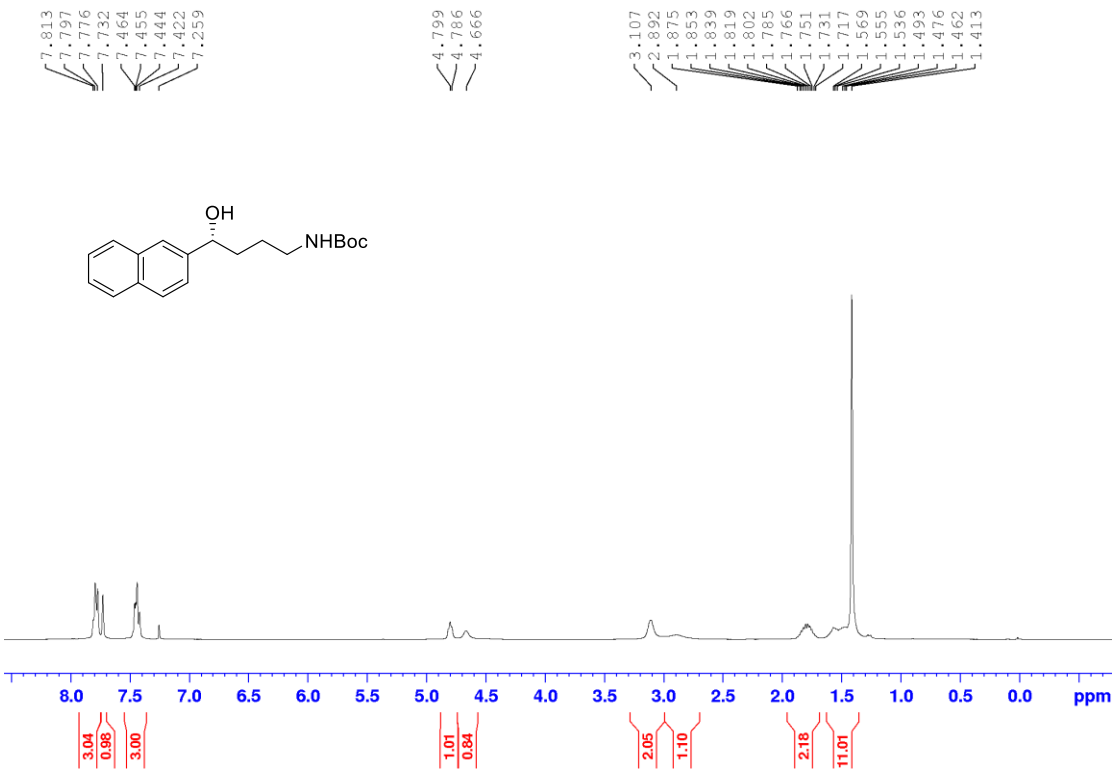
^{13}C NMR of compound **8i**



^{1}H NMR of compound **6av** (Synthesis via ATH)



^{13}C NMR of compound **6av** (Synthesis via ATH)



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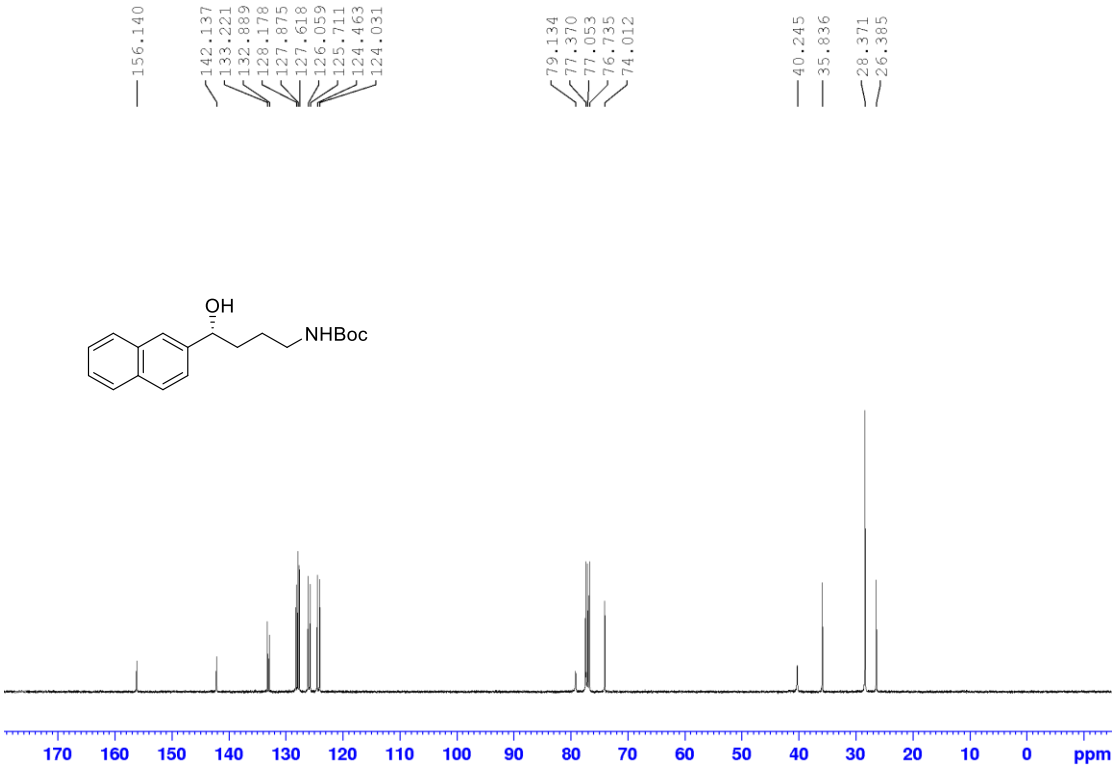

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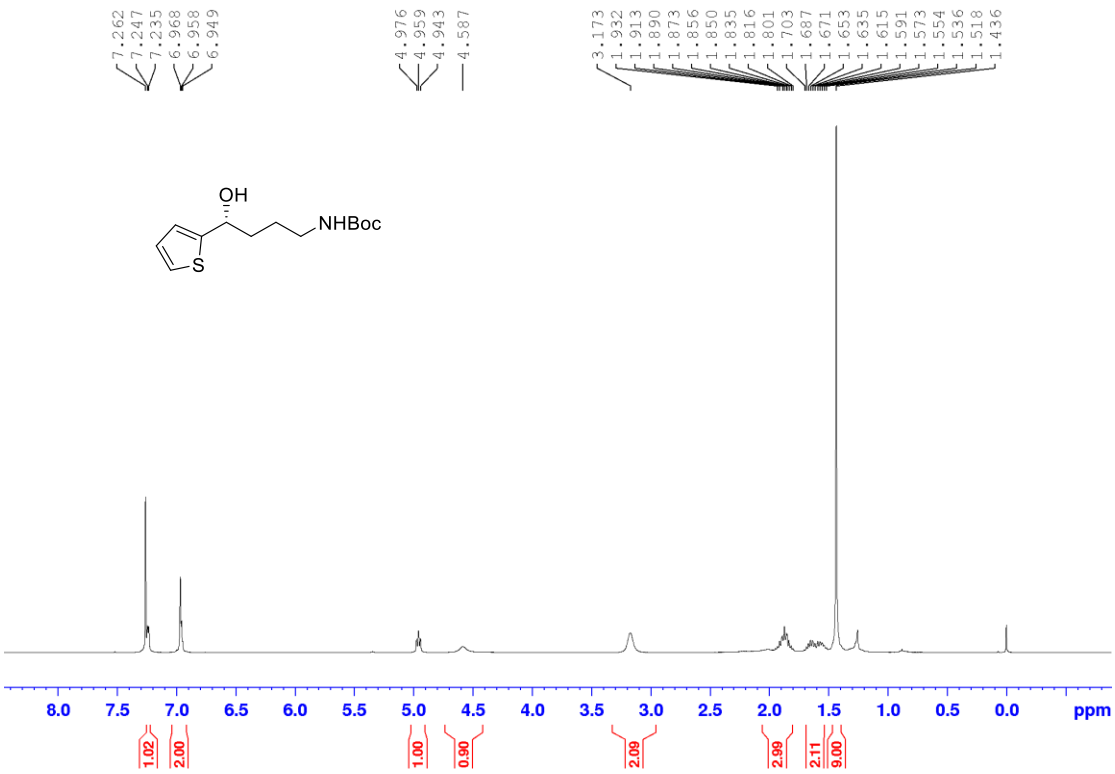

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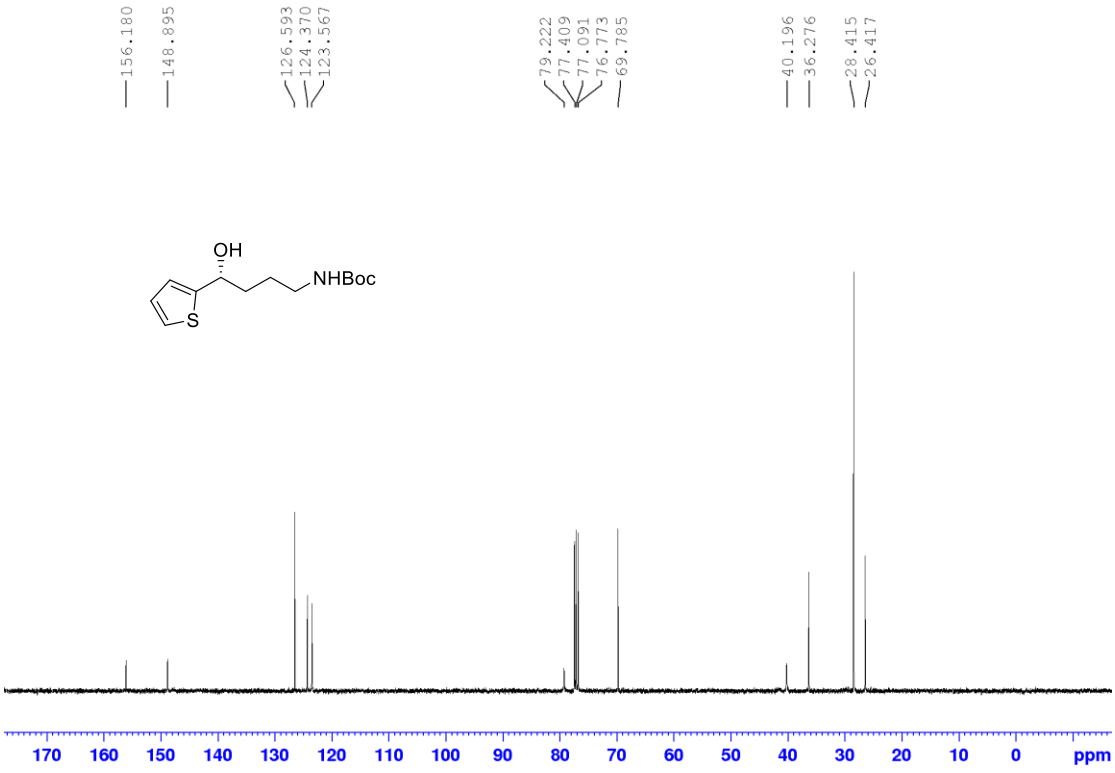

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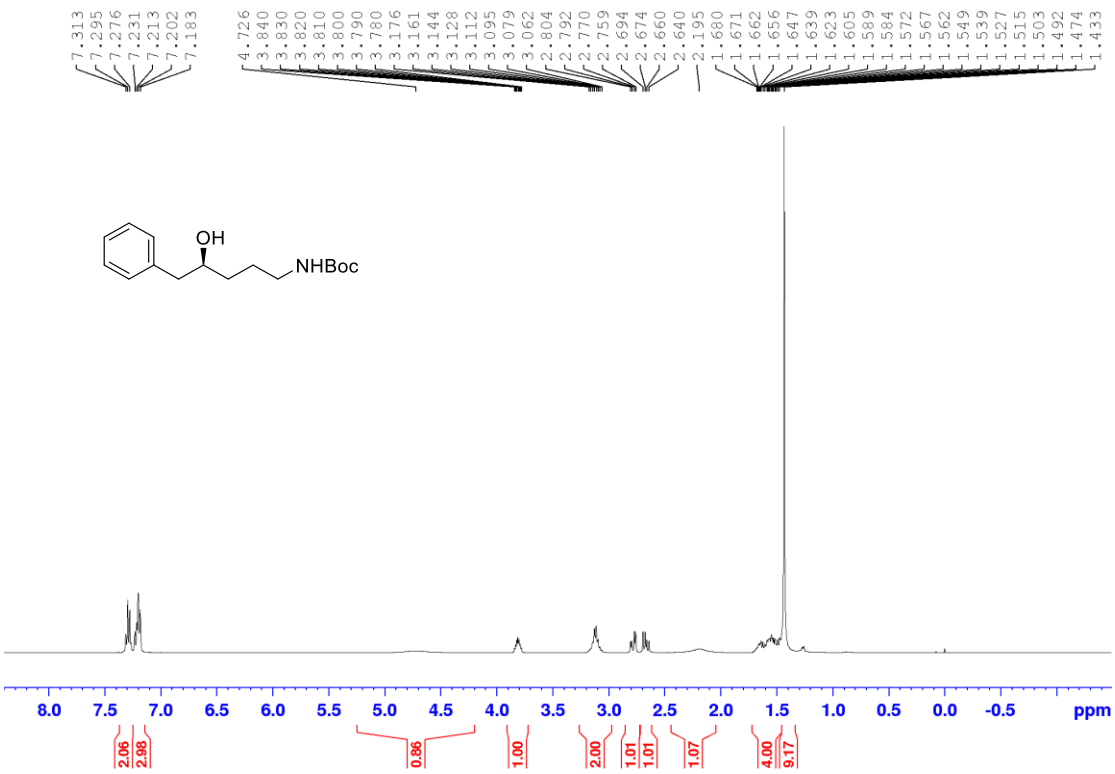
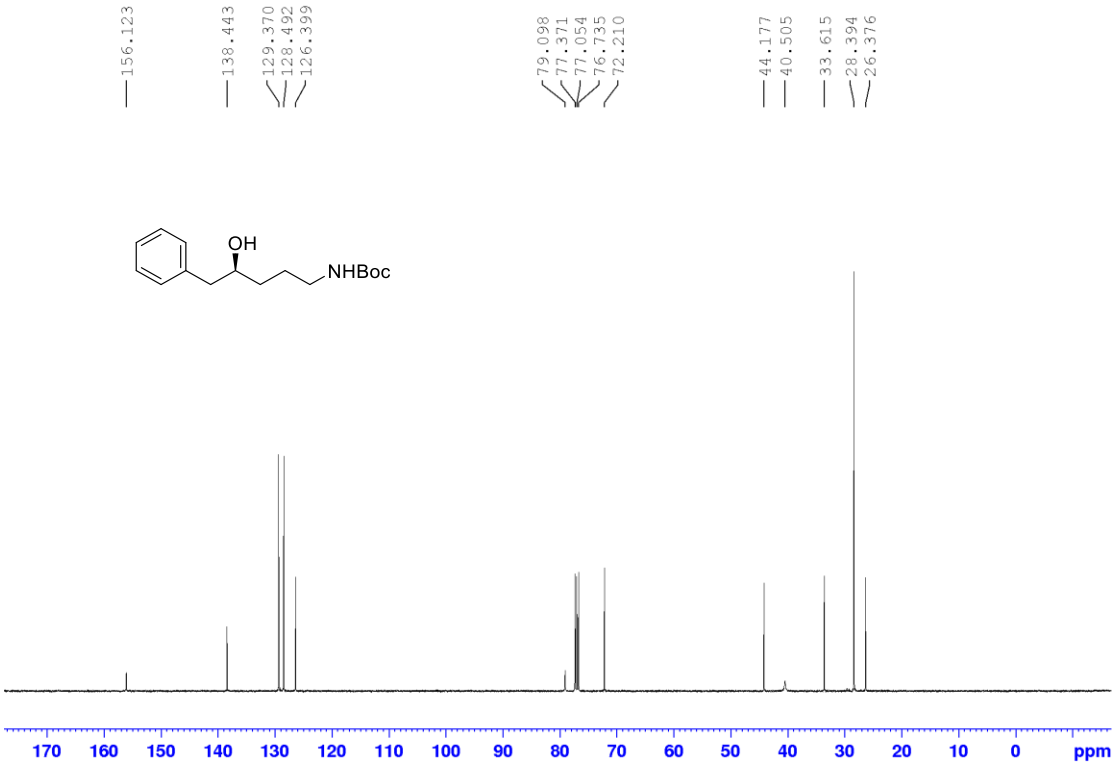
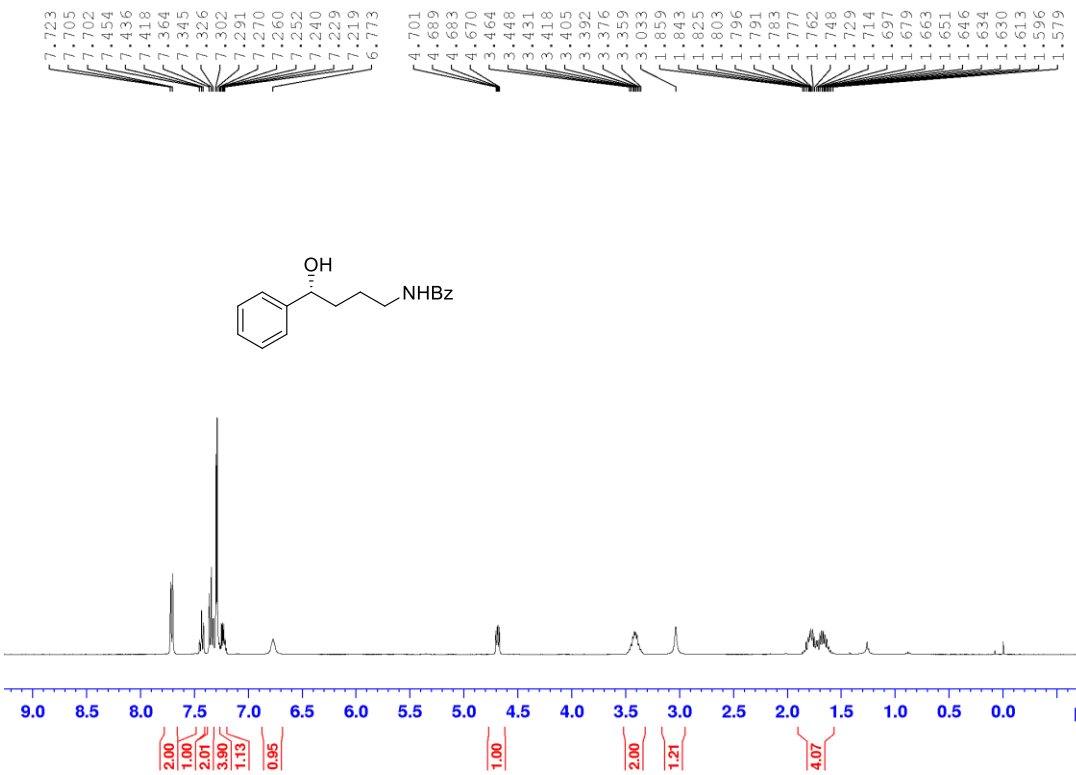


^{1}H NMR of compound **8l**



^{13}C NMR of compound **8l**



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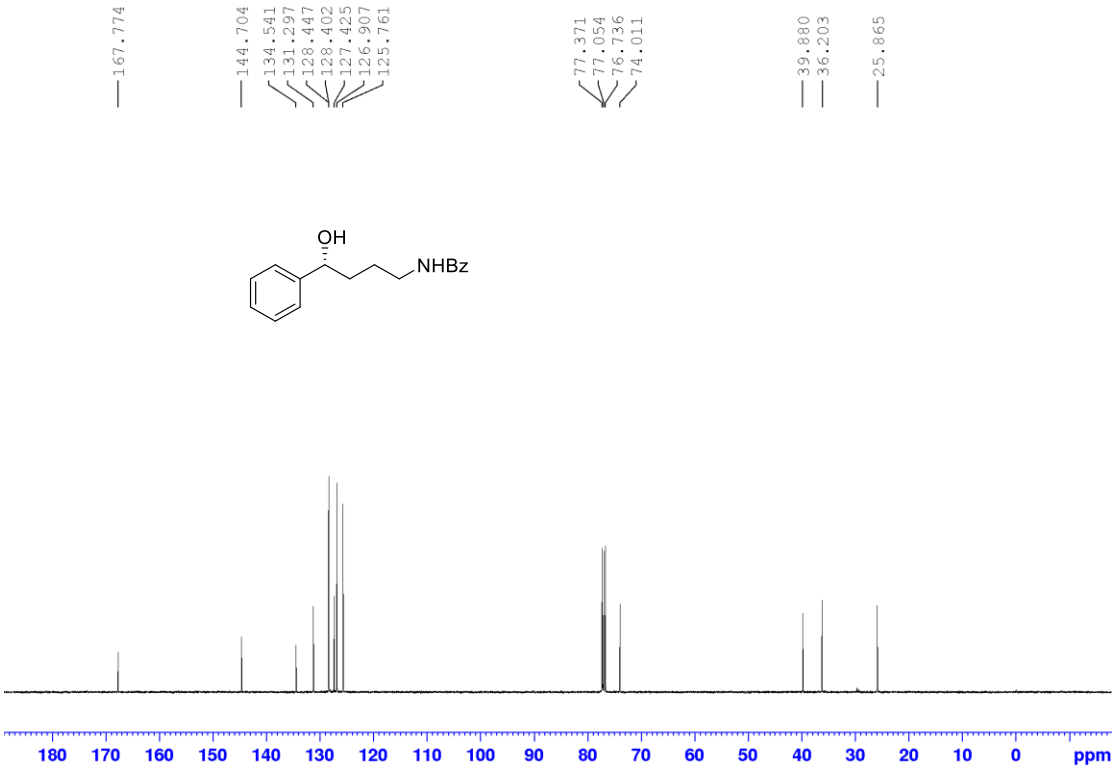
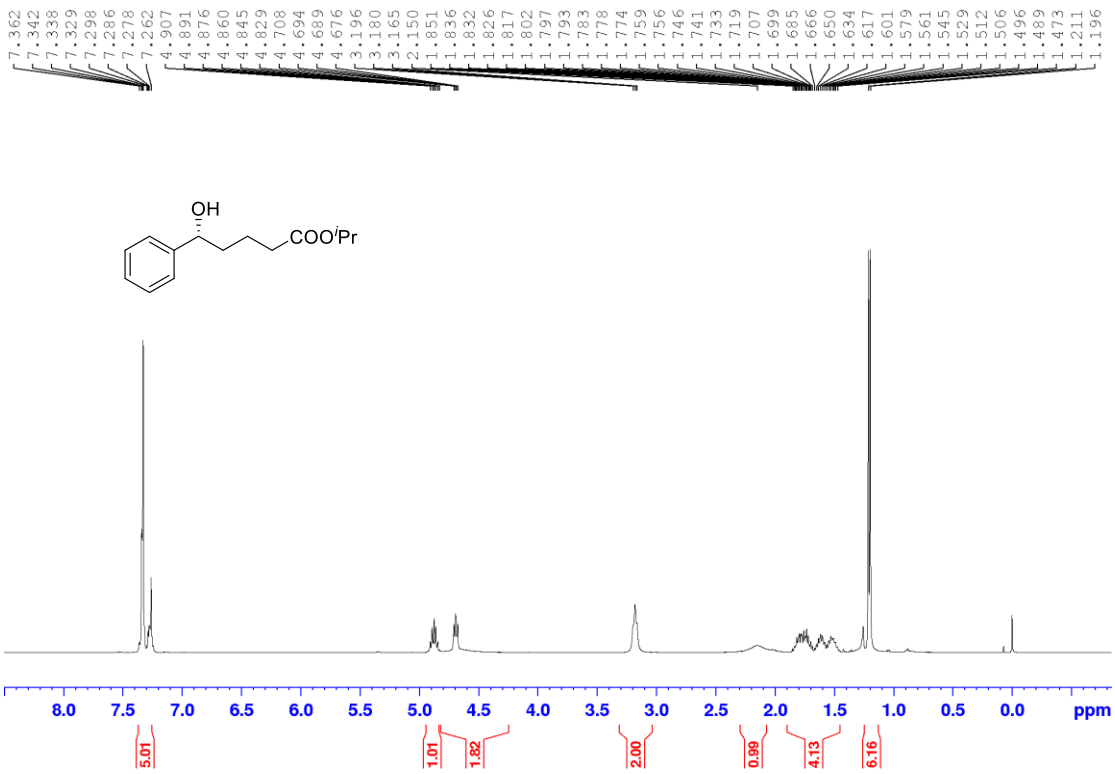
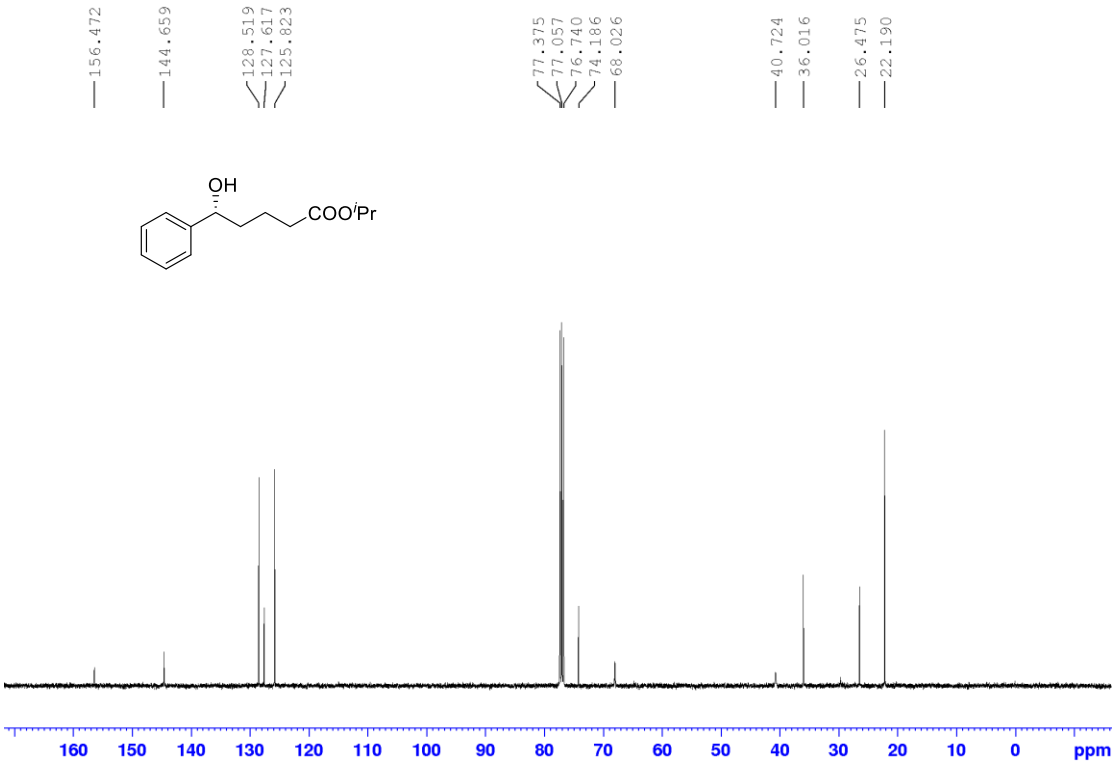


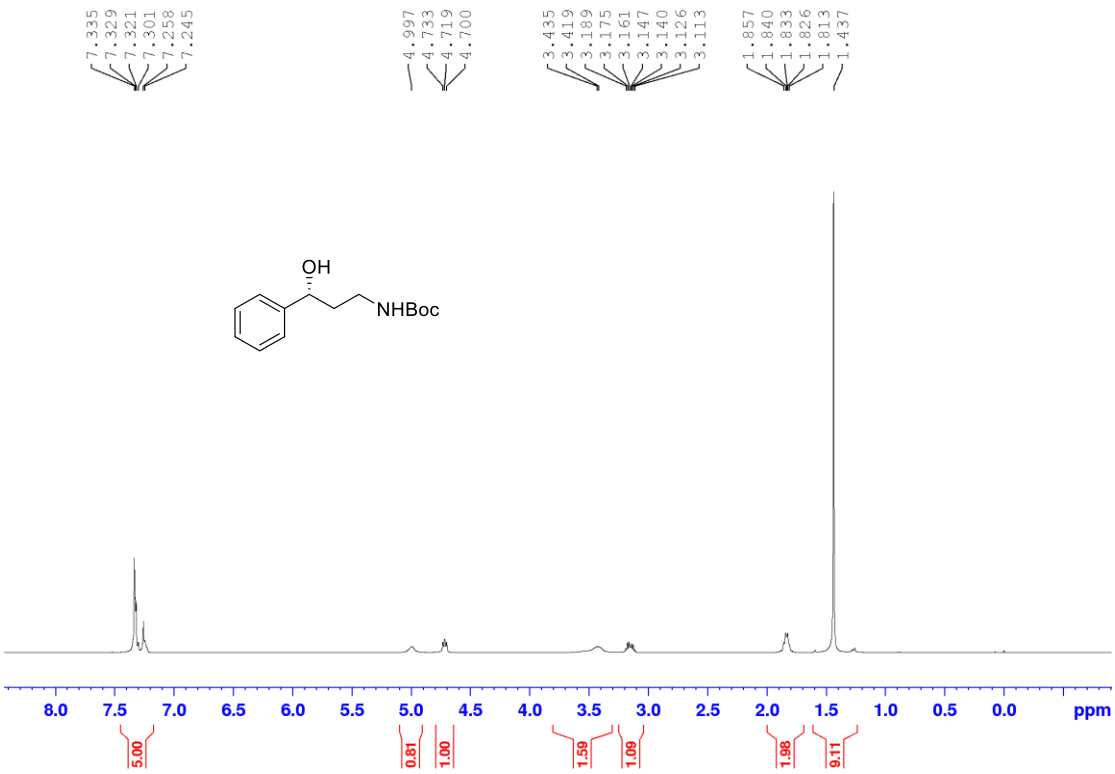
^{13}C NMR of compound **8m**



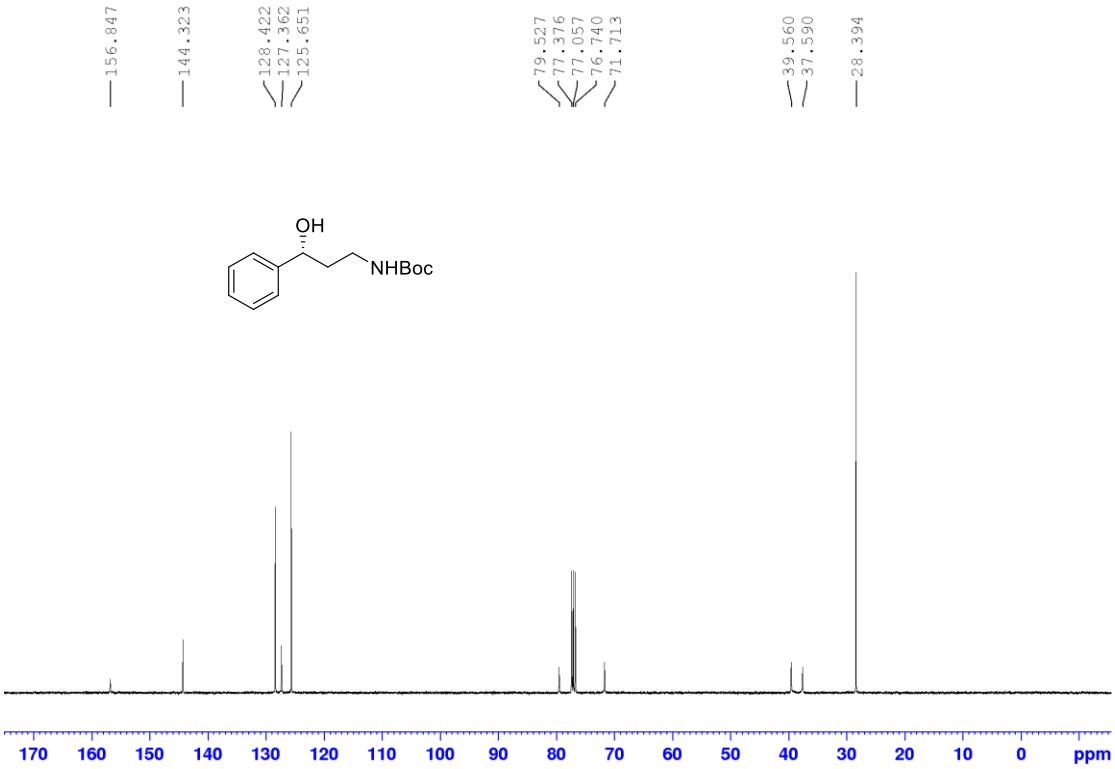
^{1}H NMR of compound **8n**



^{13}C NMR of compound **8n**



^{1}H NMR of compound **8o**



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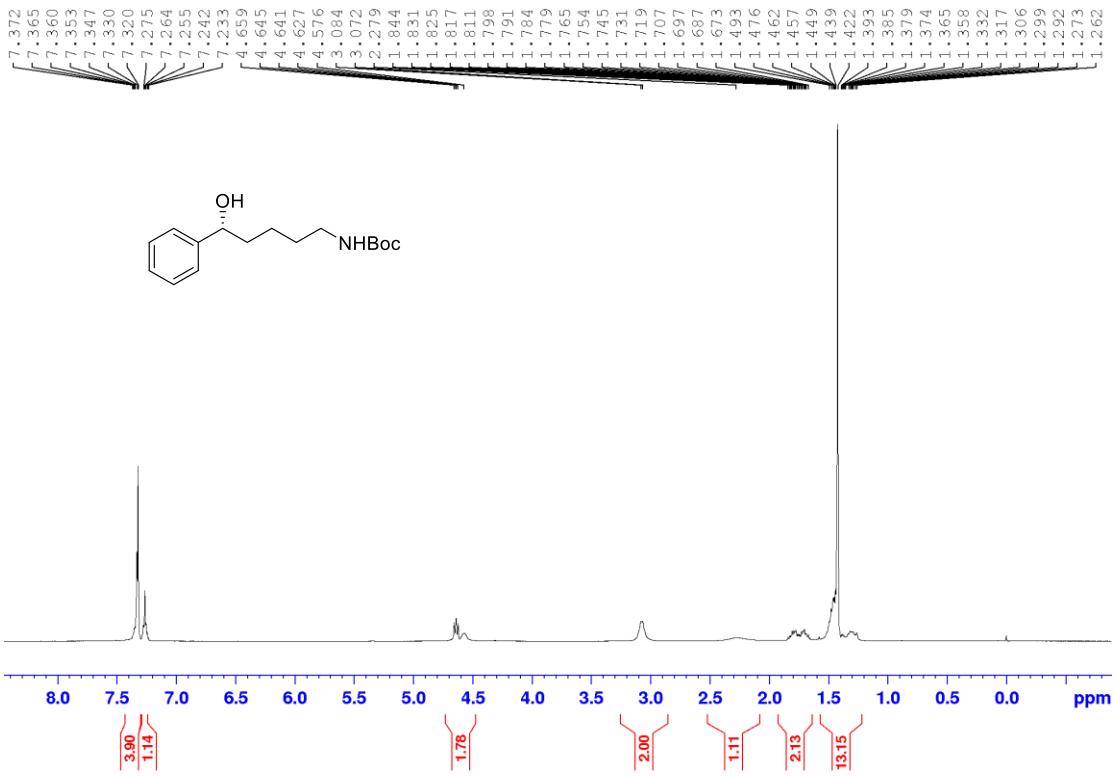
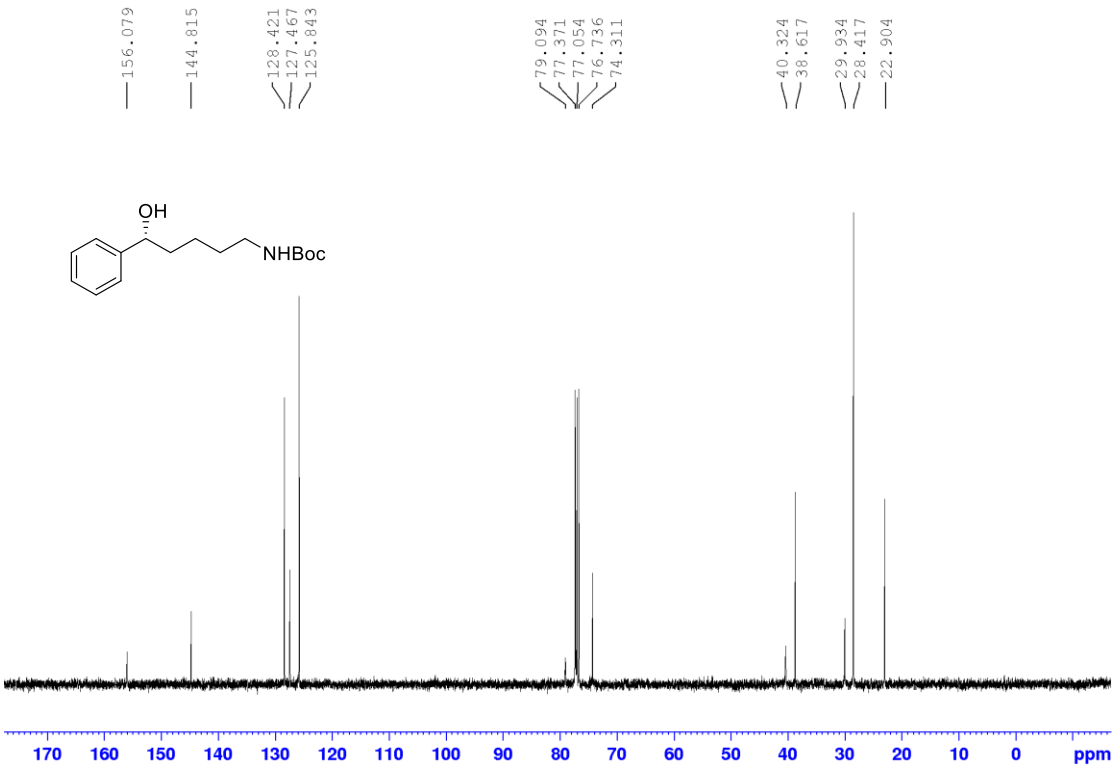
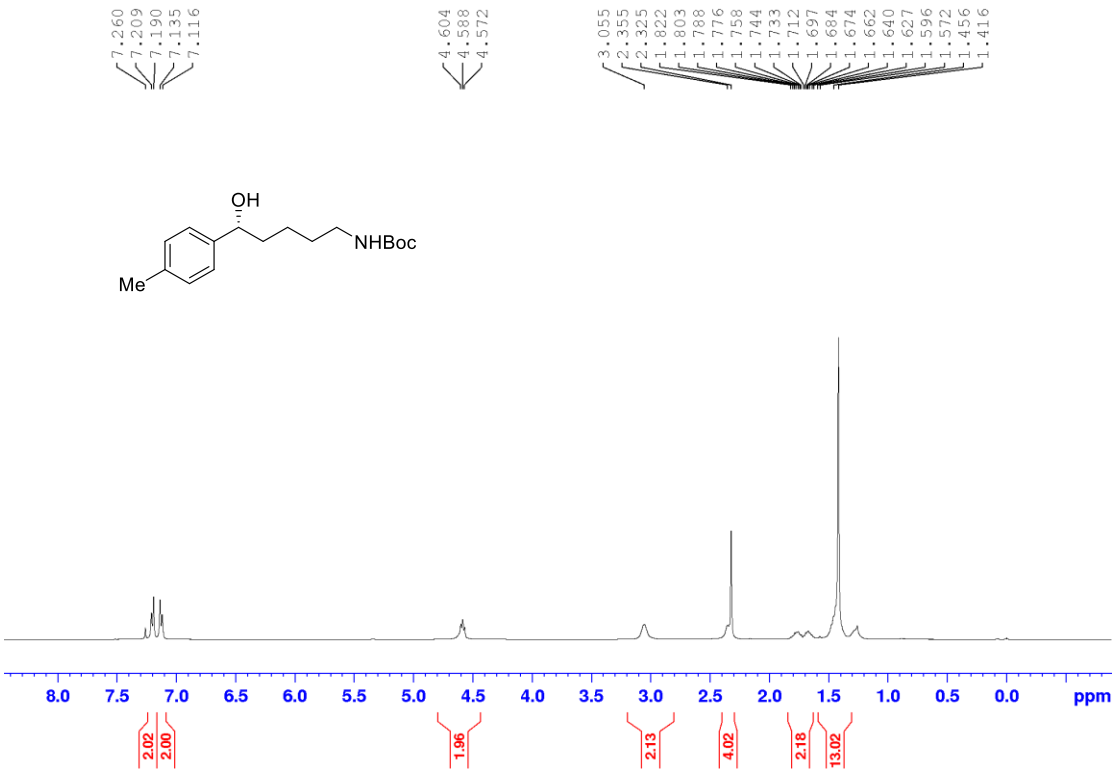


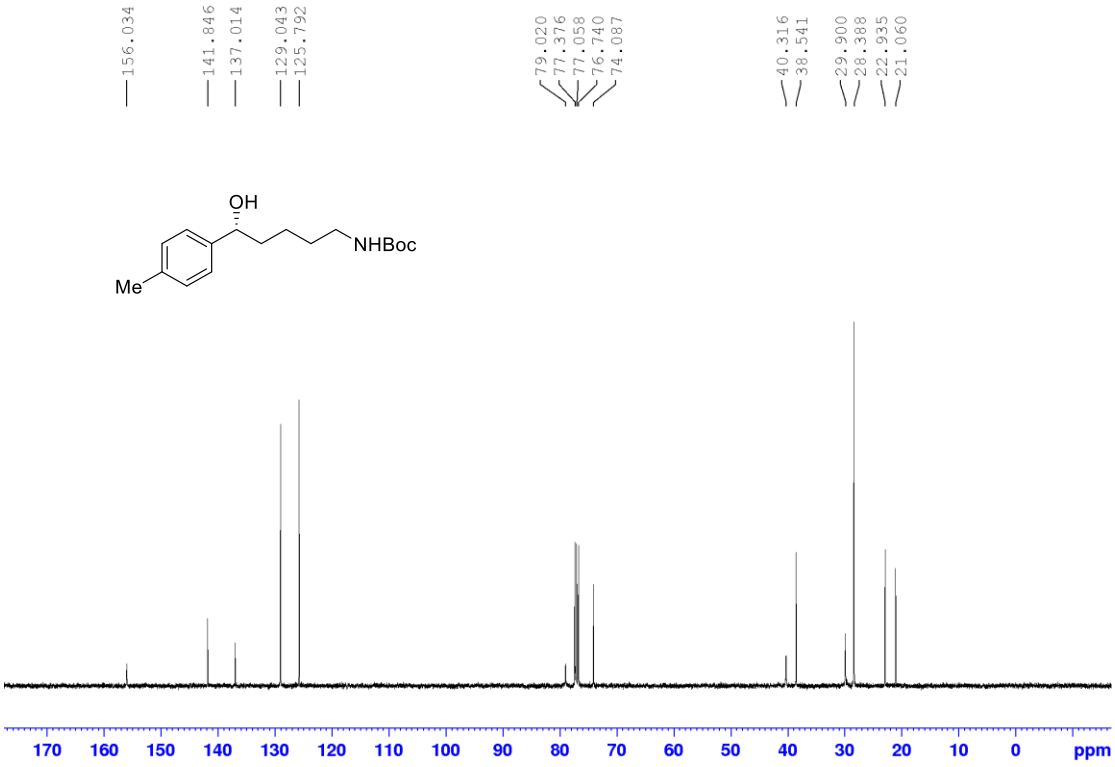
^{1}H NMR of compound **8p**



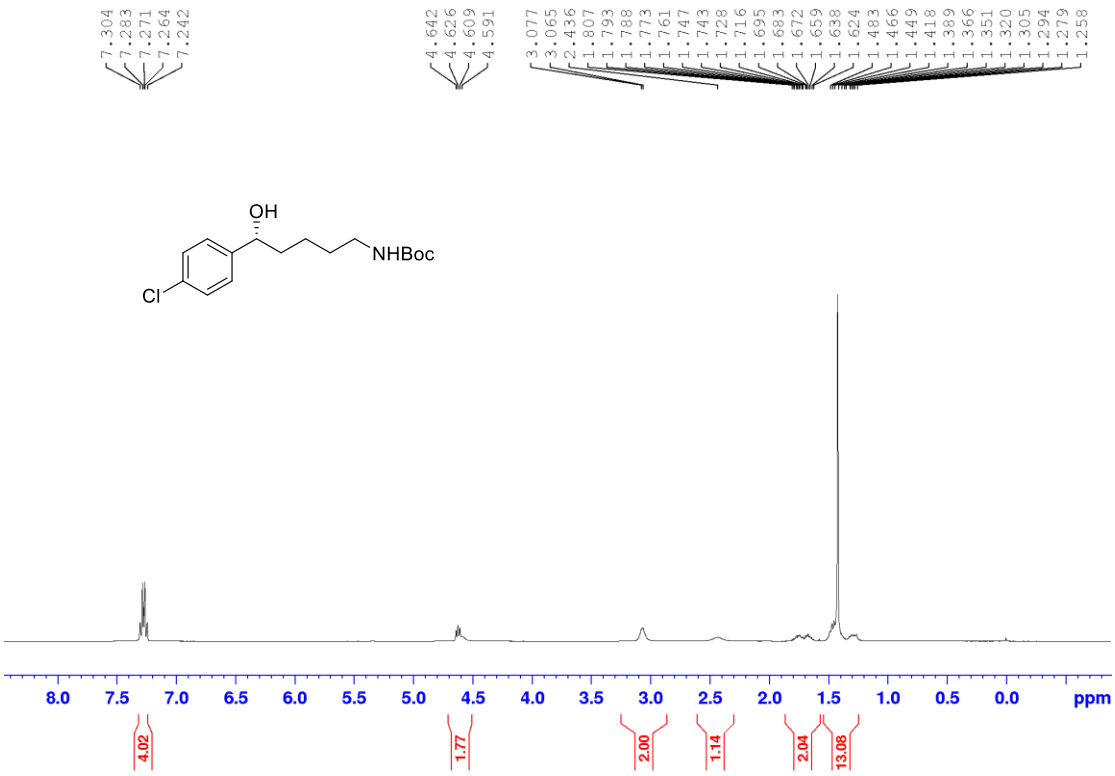
^{13}C NMR of compound **8p**



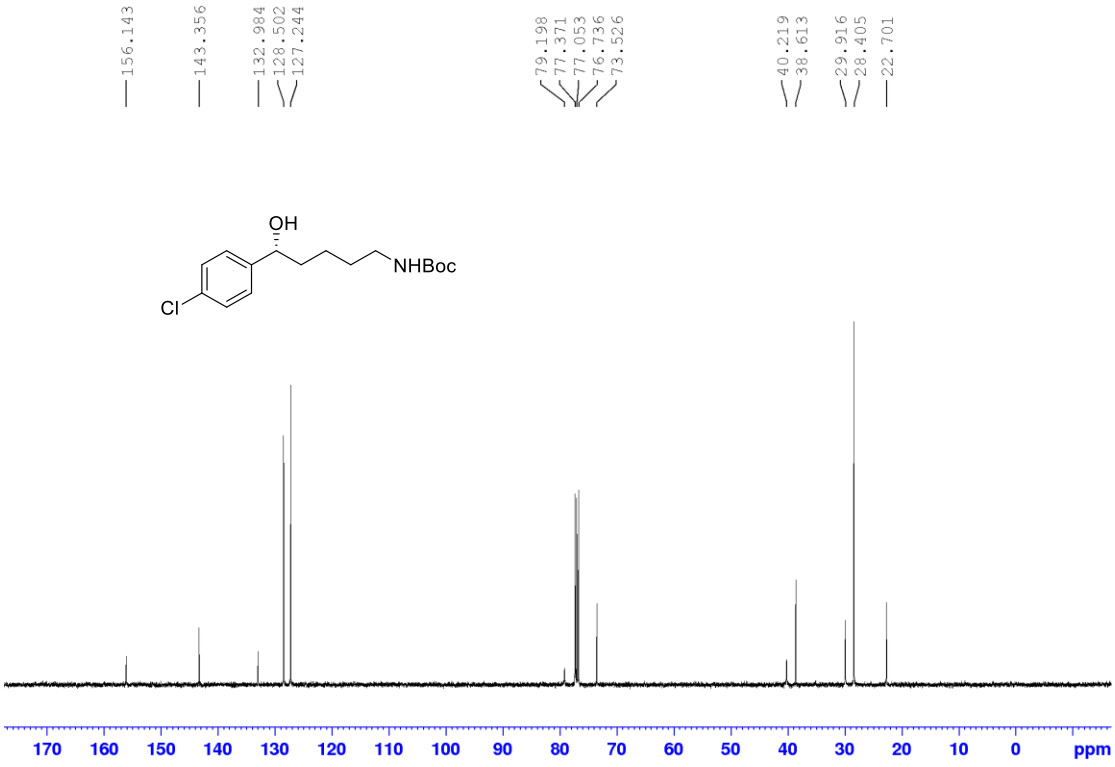
^{1}H NMR of compound **8q**



^{13}C NMR of compound **8q**



^{1}H NMR of compound **8r**



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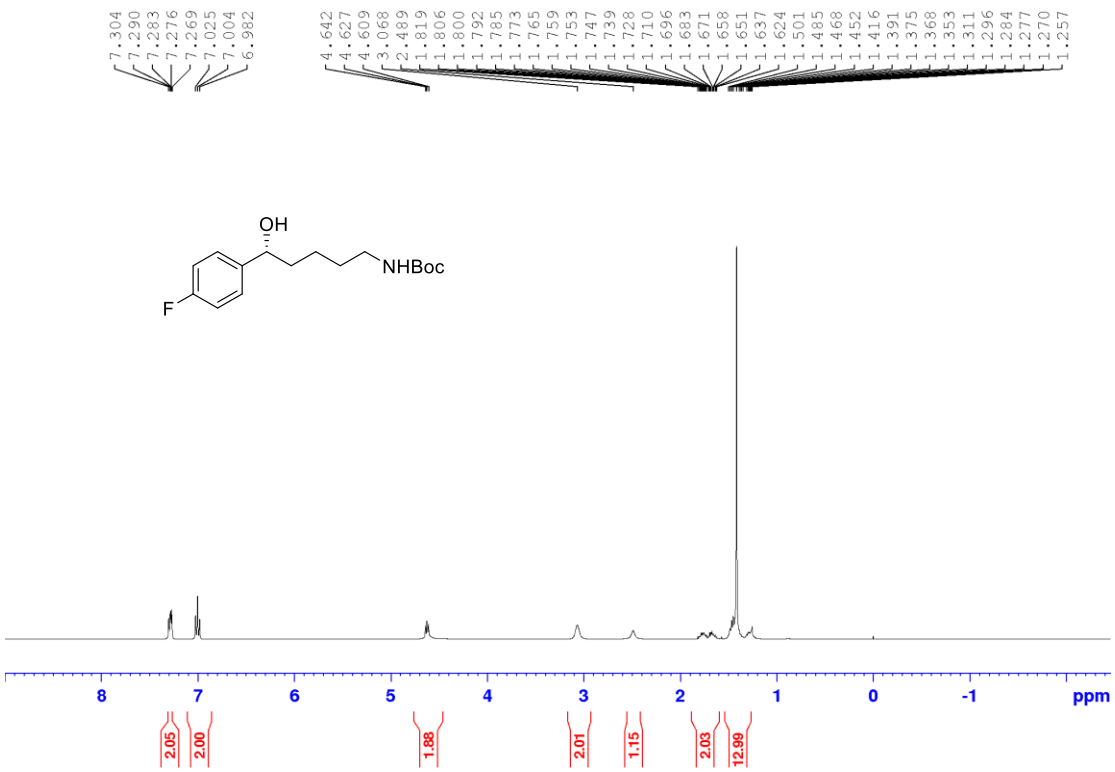

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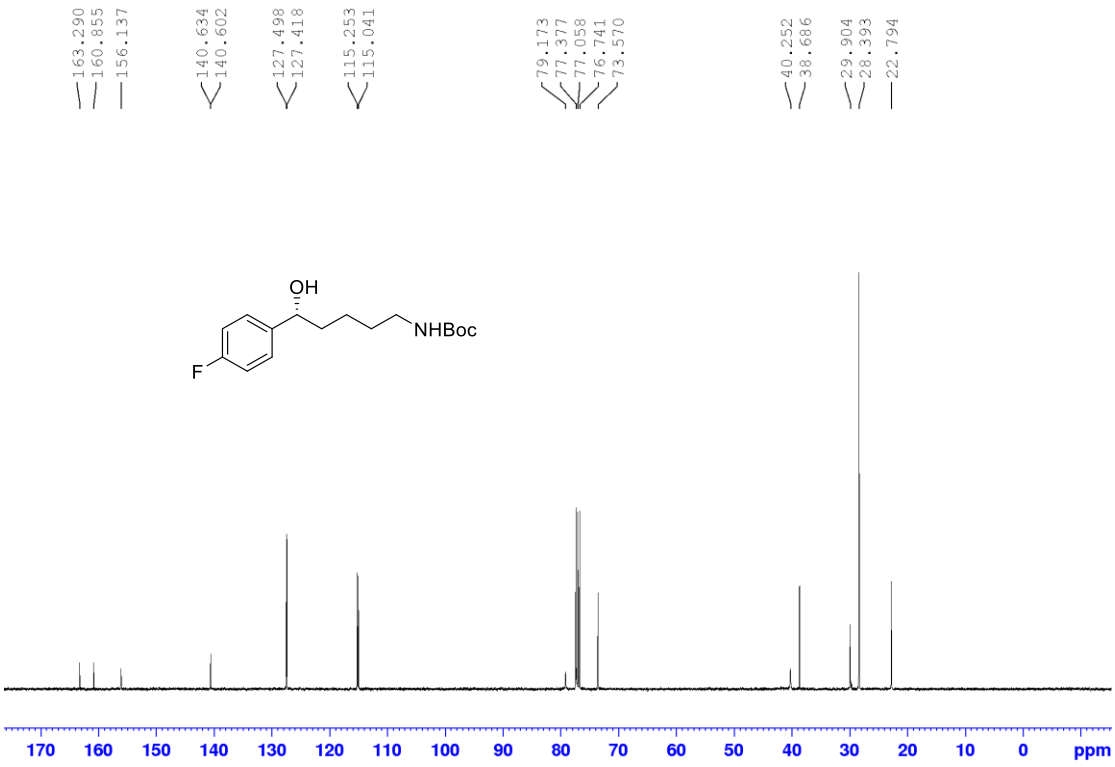

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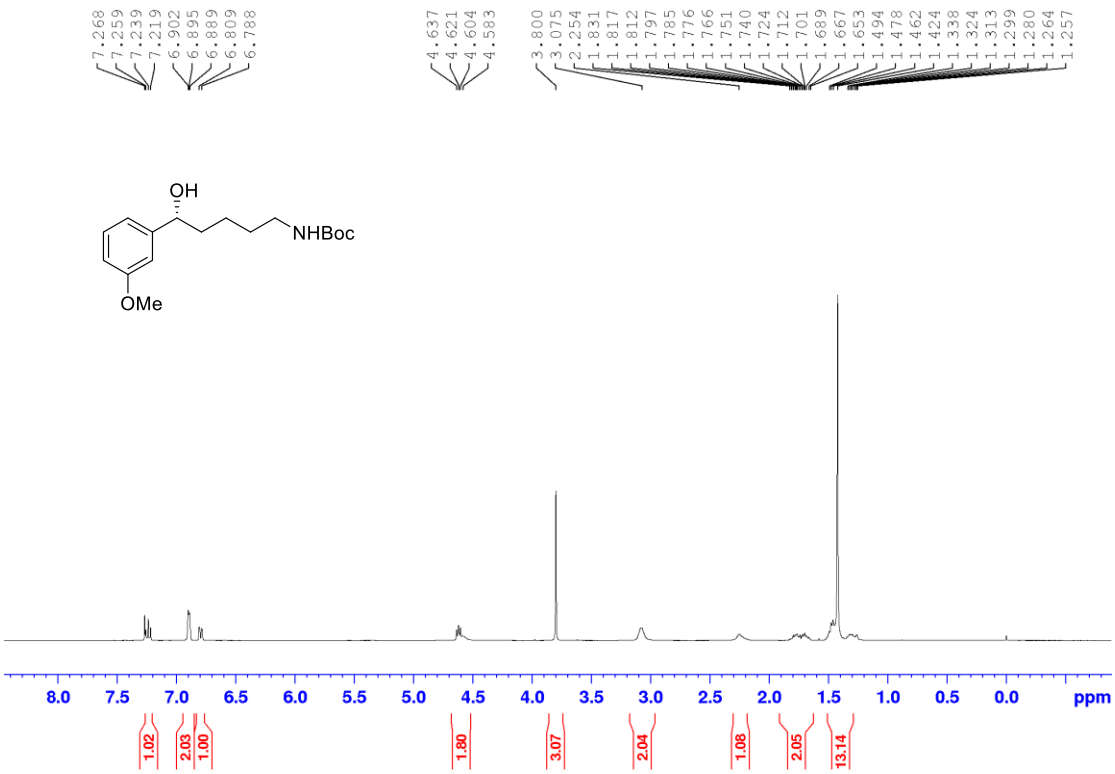

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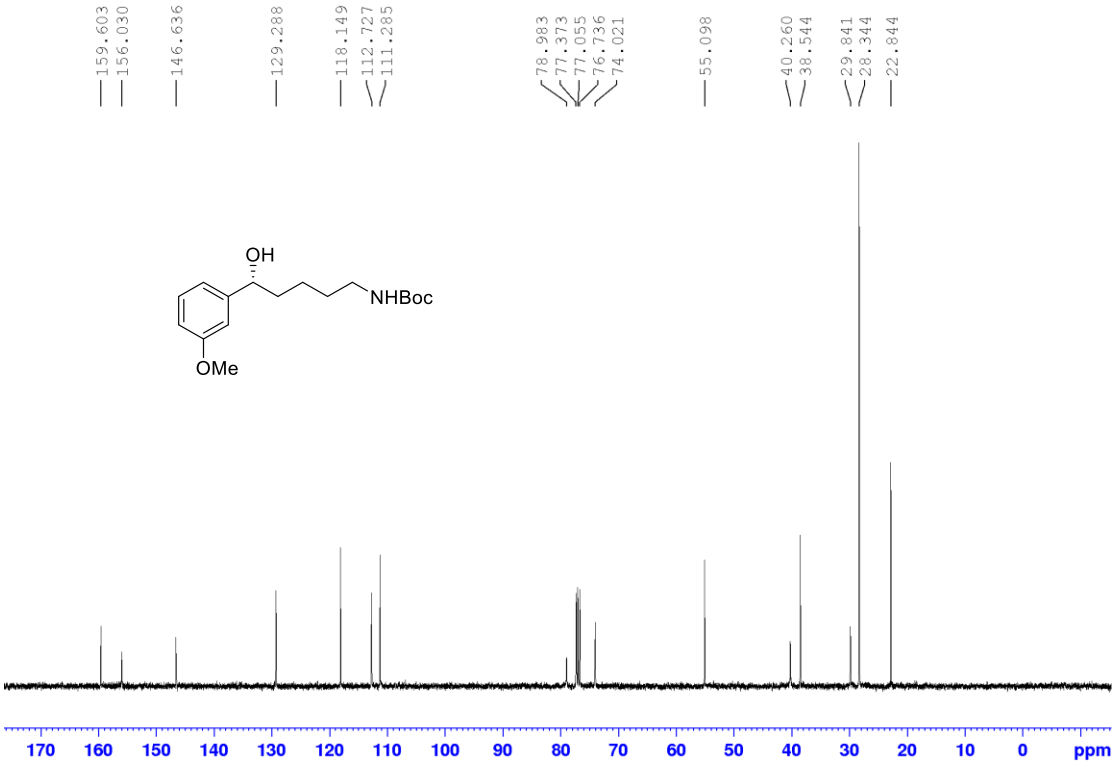
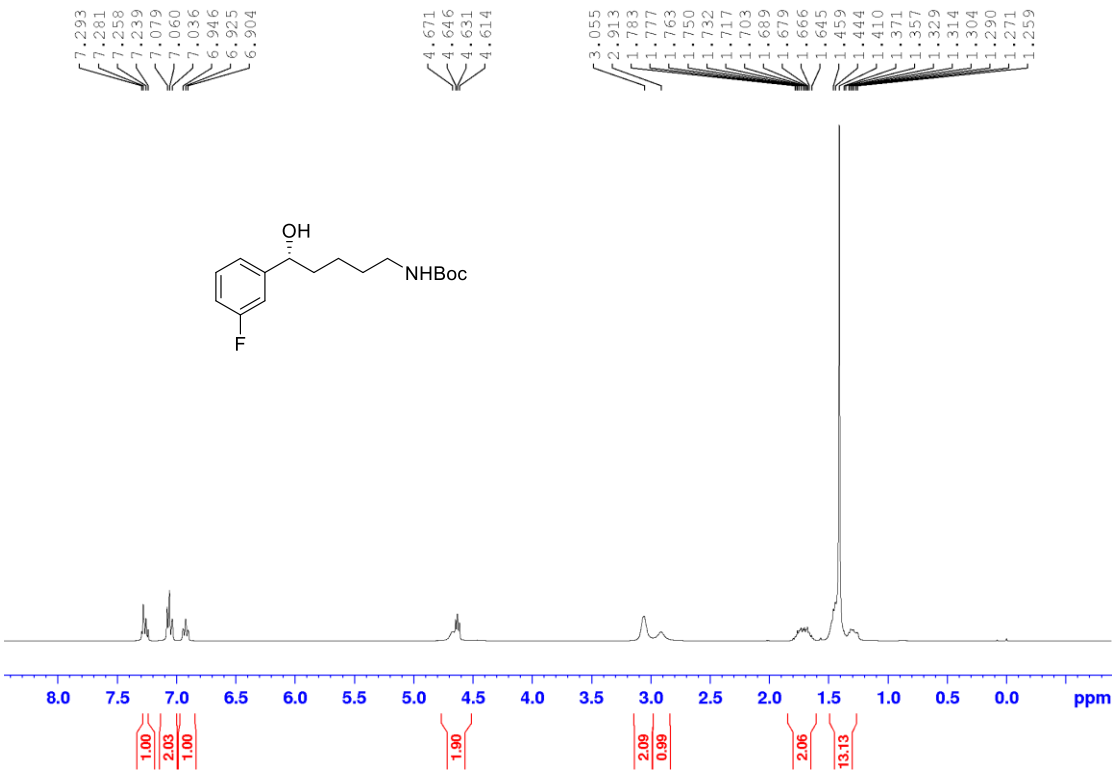
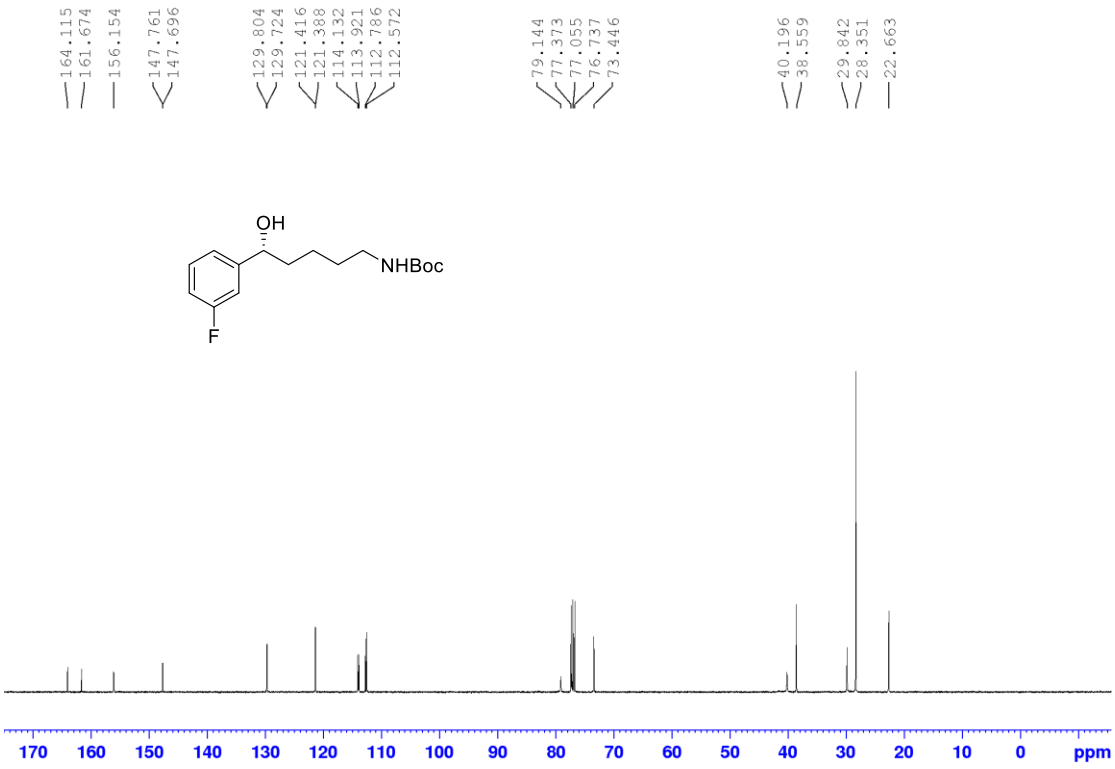


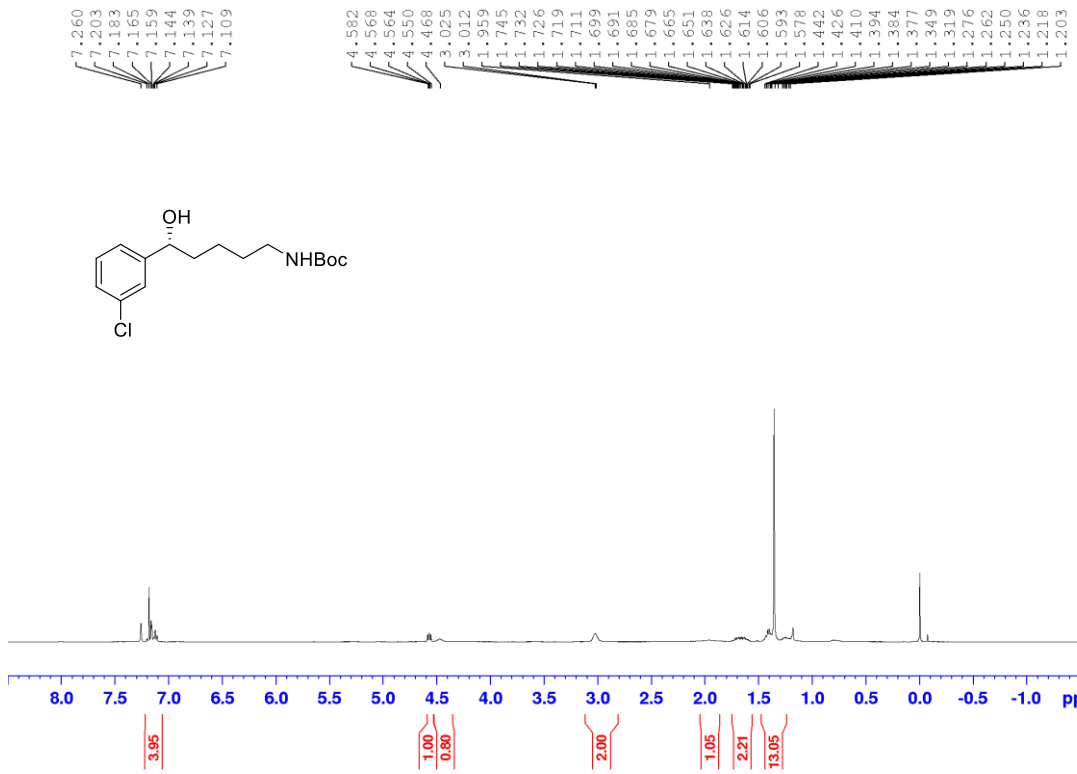
^{13}C NMR of compound **8t**



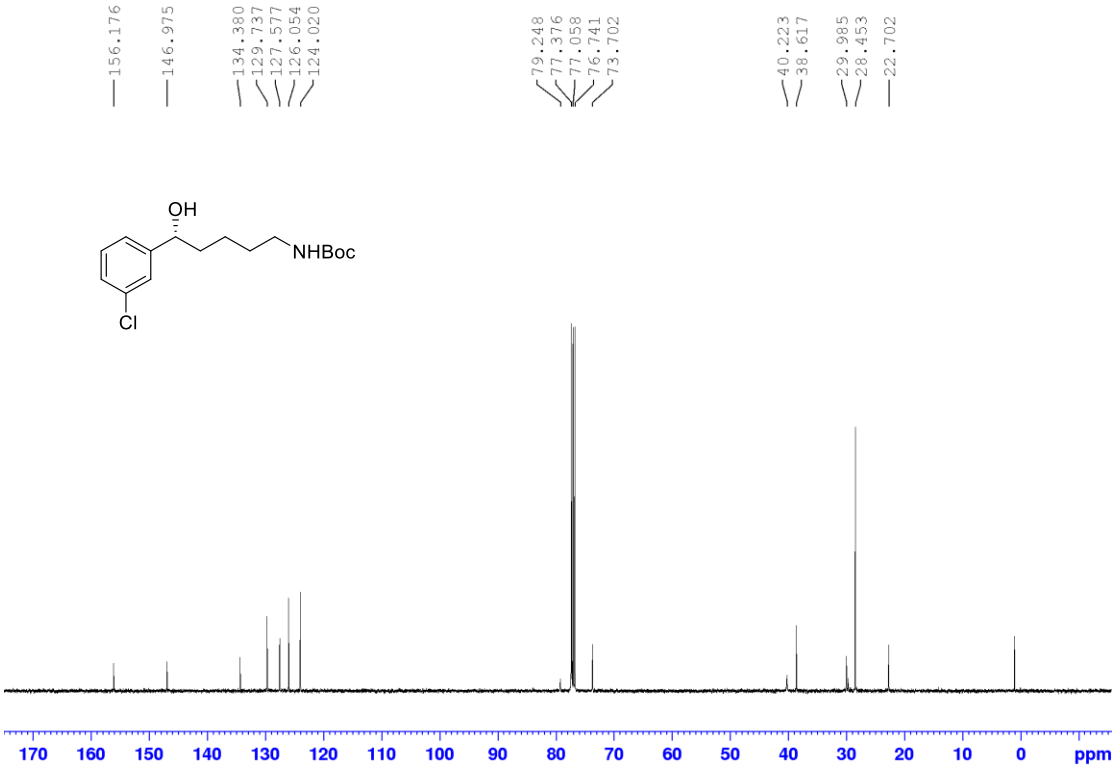
^{1}H NMR of compound **8u**



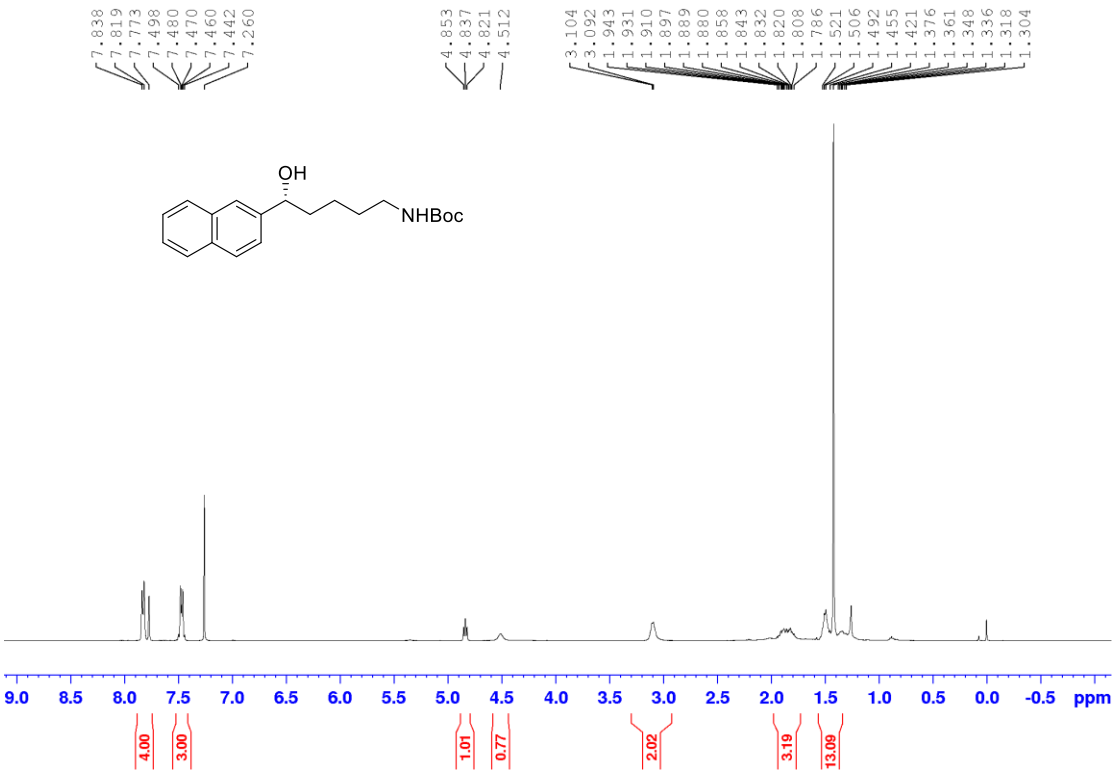
^{13}C NMR of compound **8u**



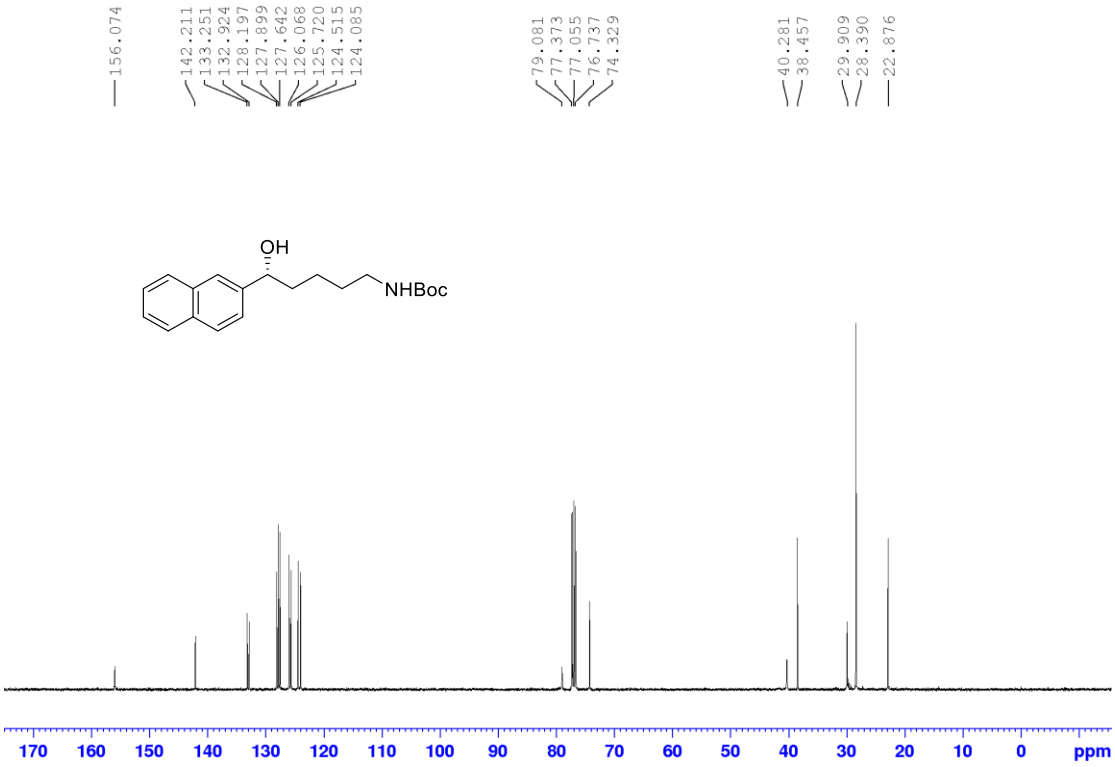
^{1}H NMR of compound **8v**



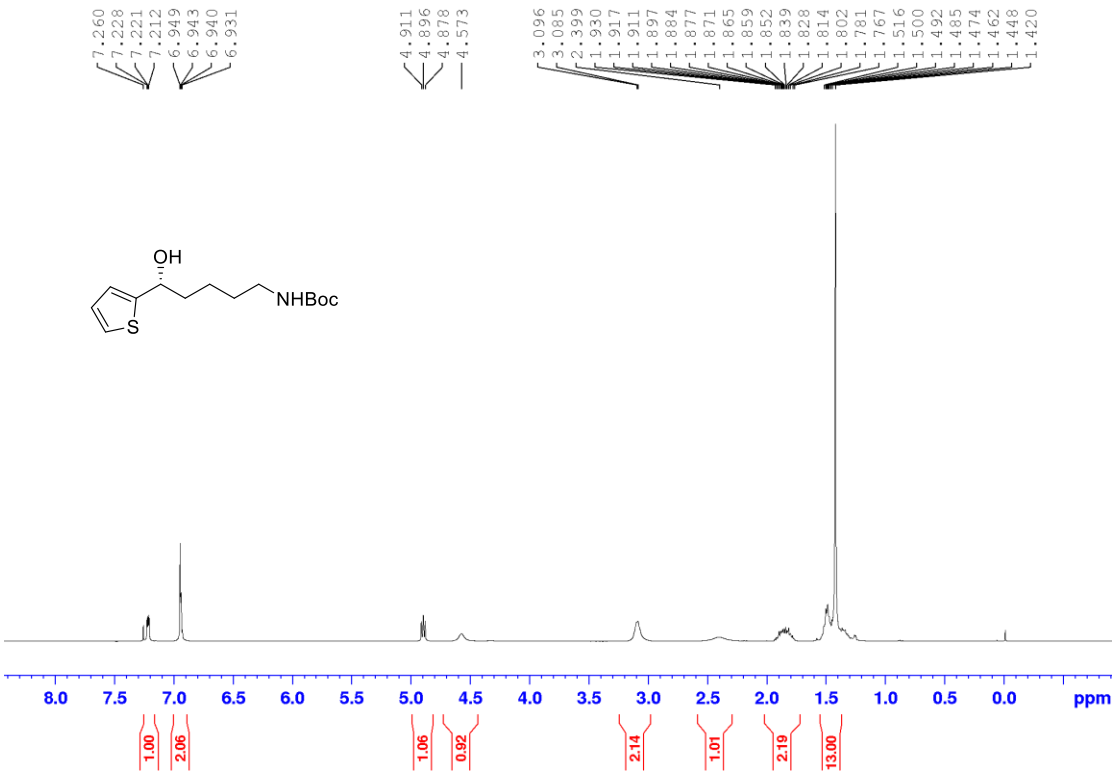
^{13}C NMR of compound **8v**



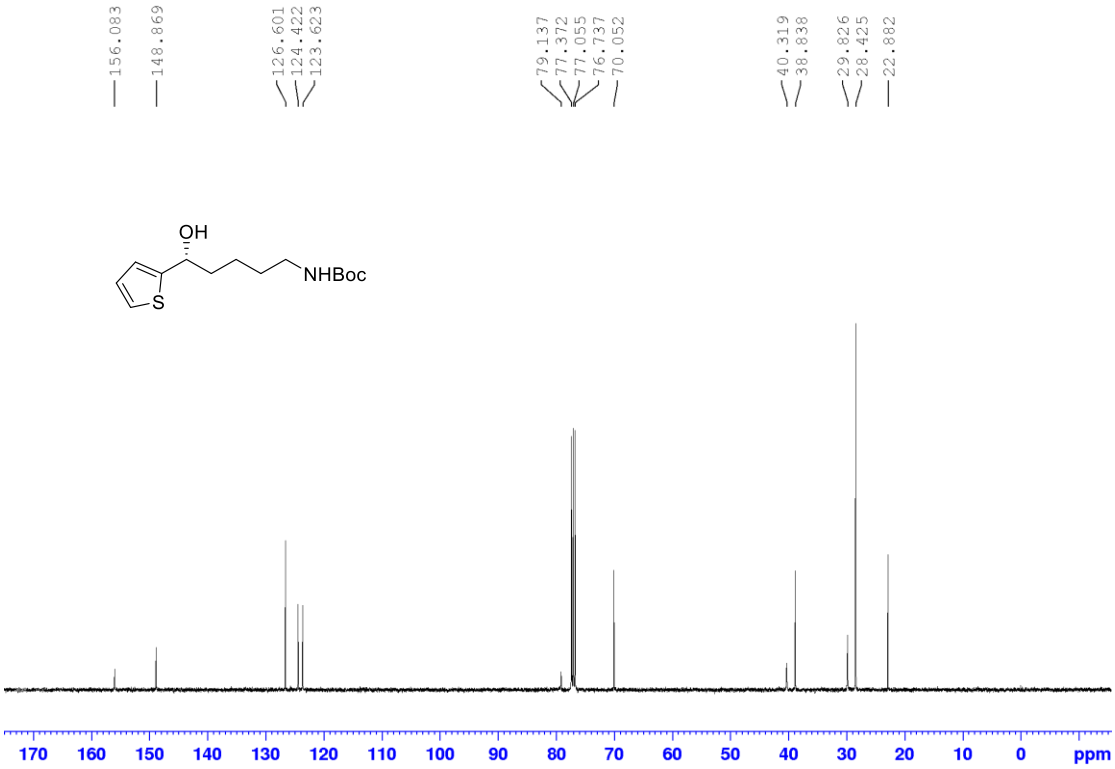
^{1}H NMR of compound **8w**



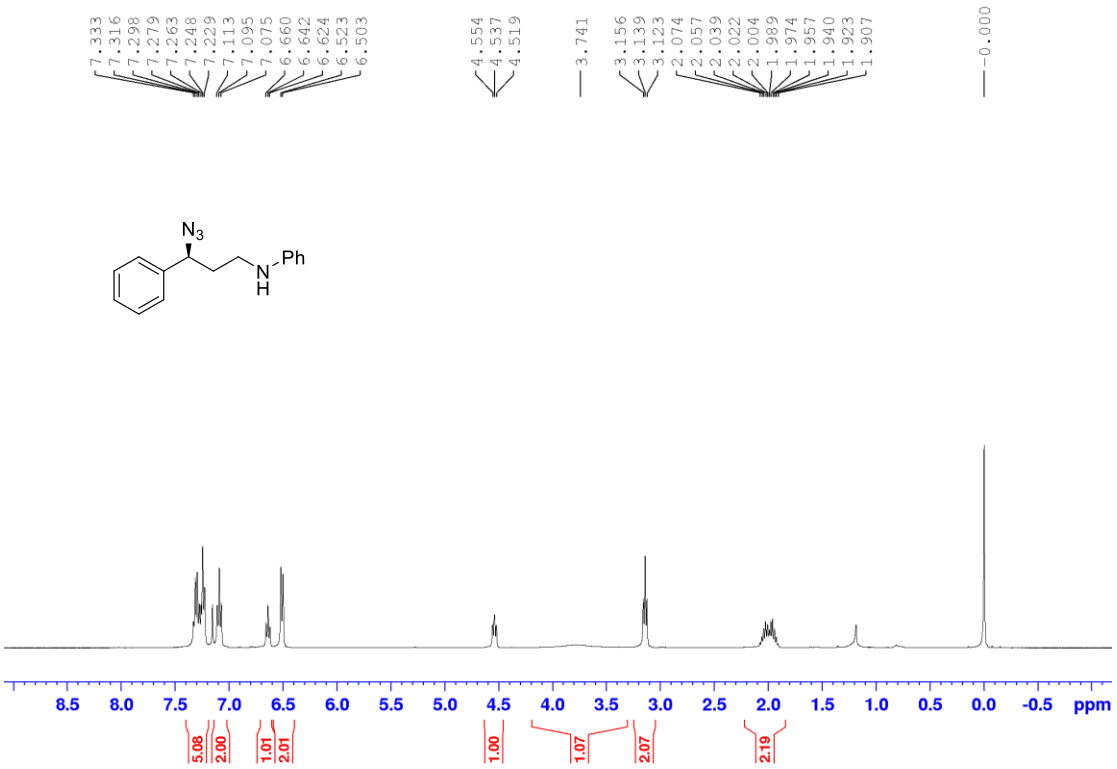
^{13}C NMR of compound **8w**



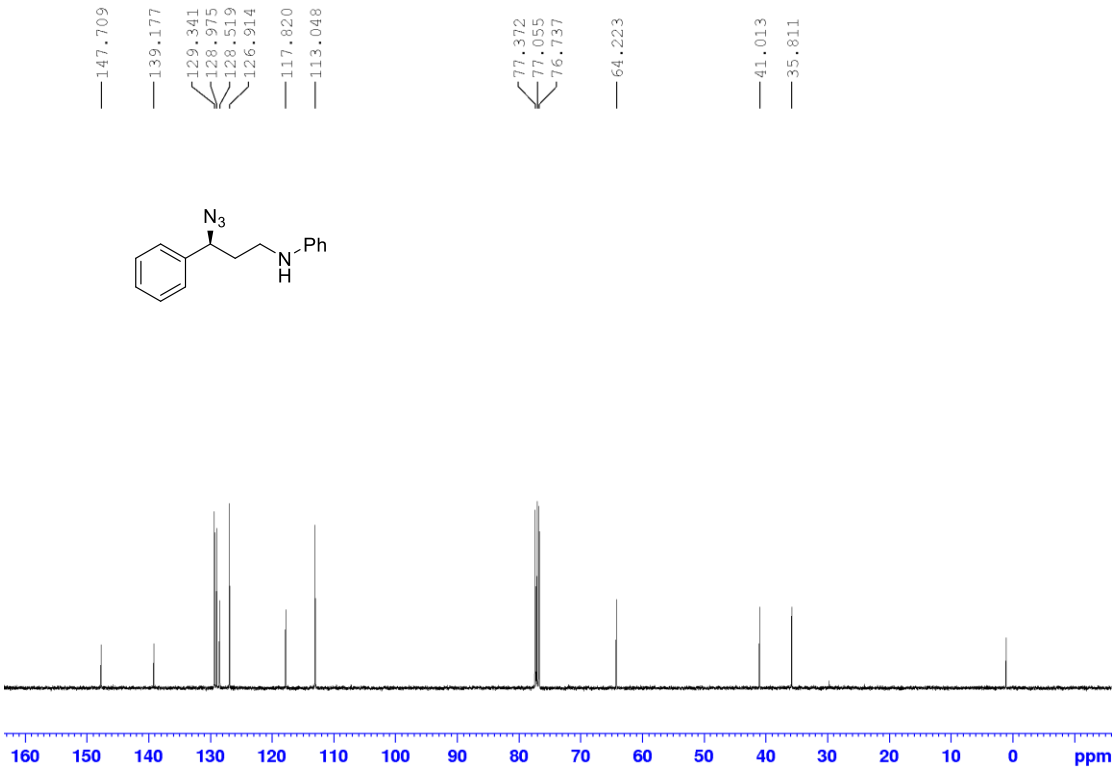
^{1}H NMR of compound **8x**



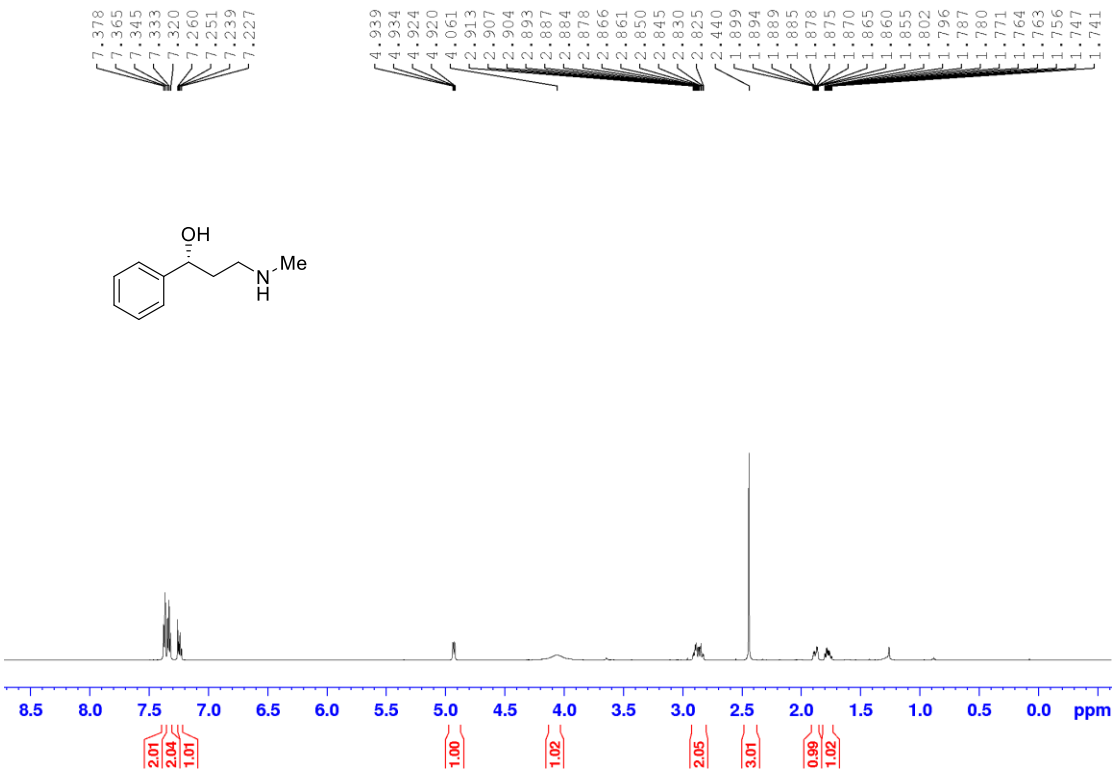
^{13}C NMR of compound **8x**



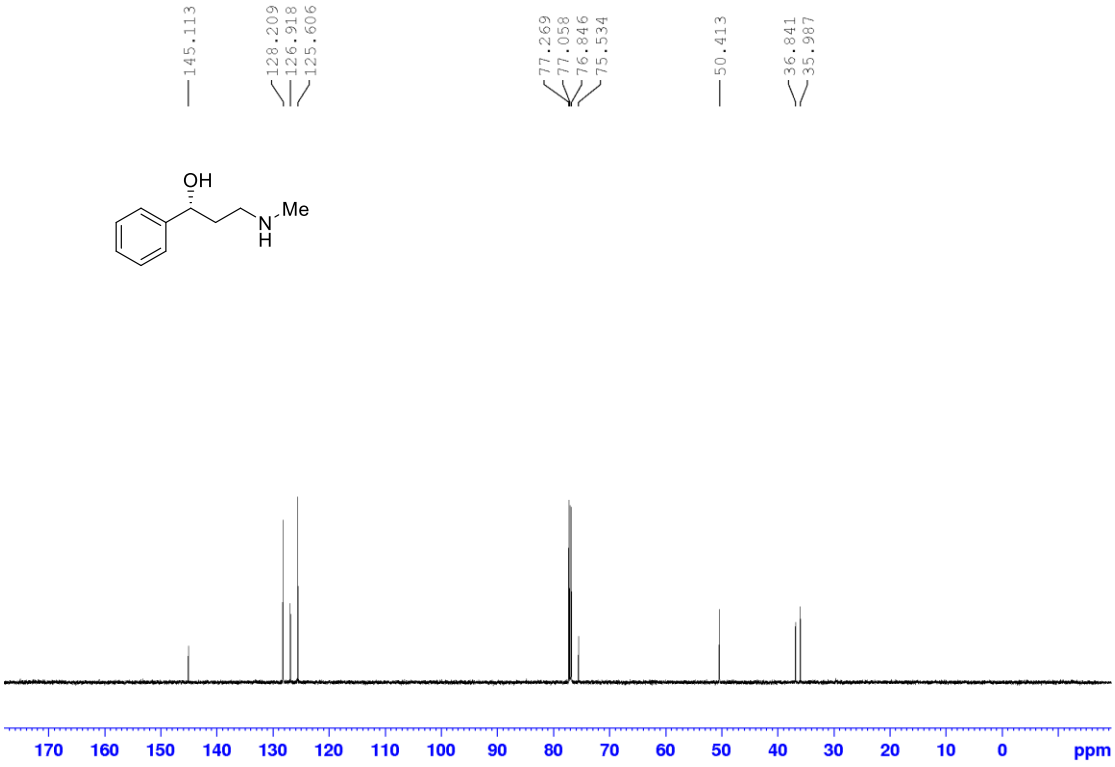
^{1}H NMR of compound **9a**



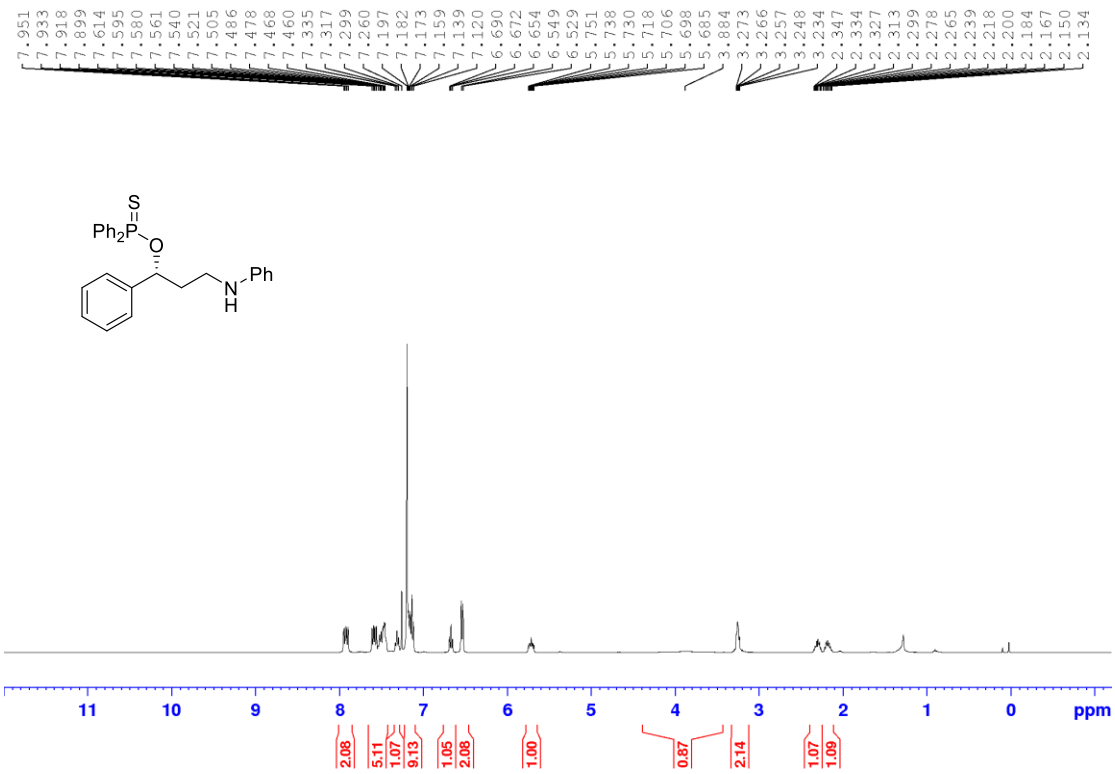
^{13}C NMR of compound **9a**



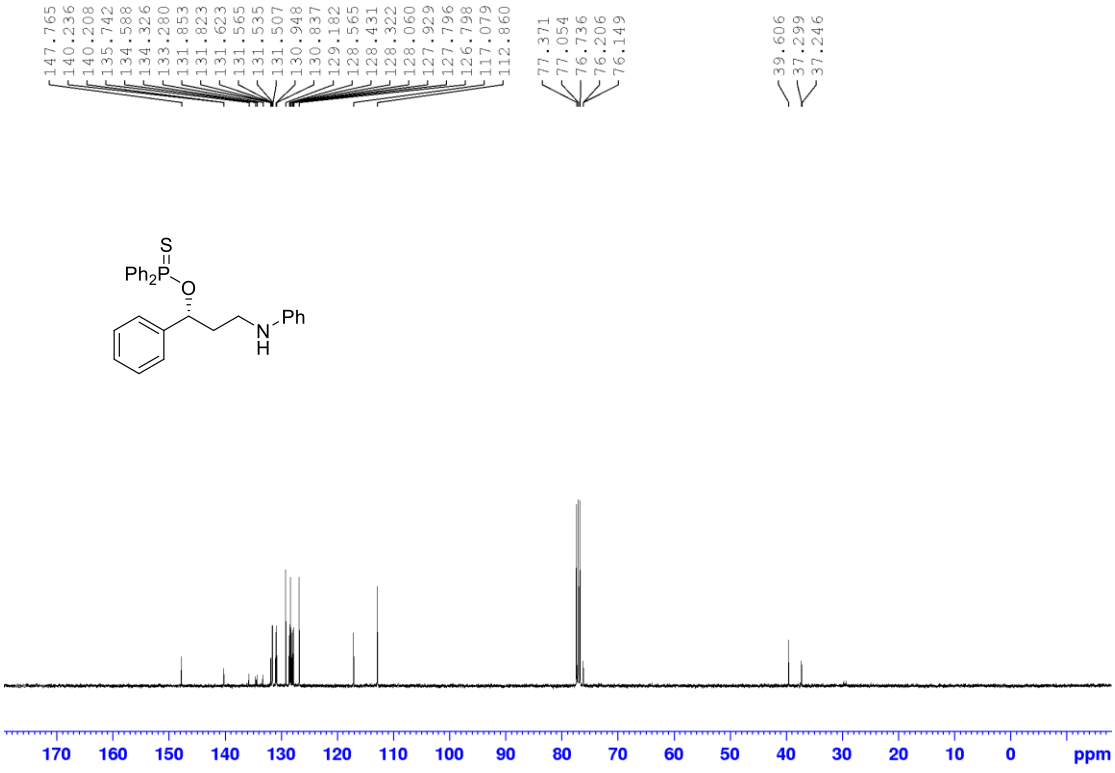
^{1}H NMR of compound **9b**



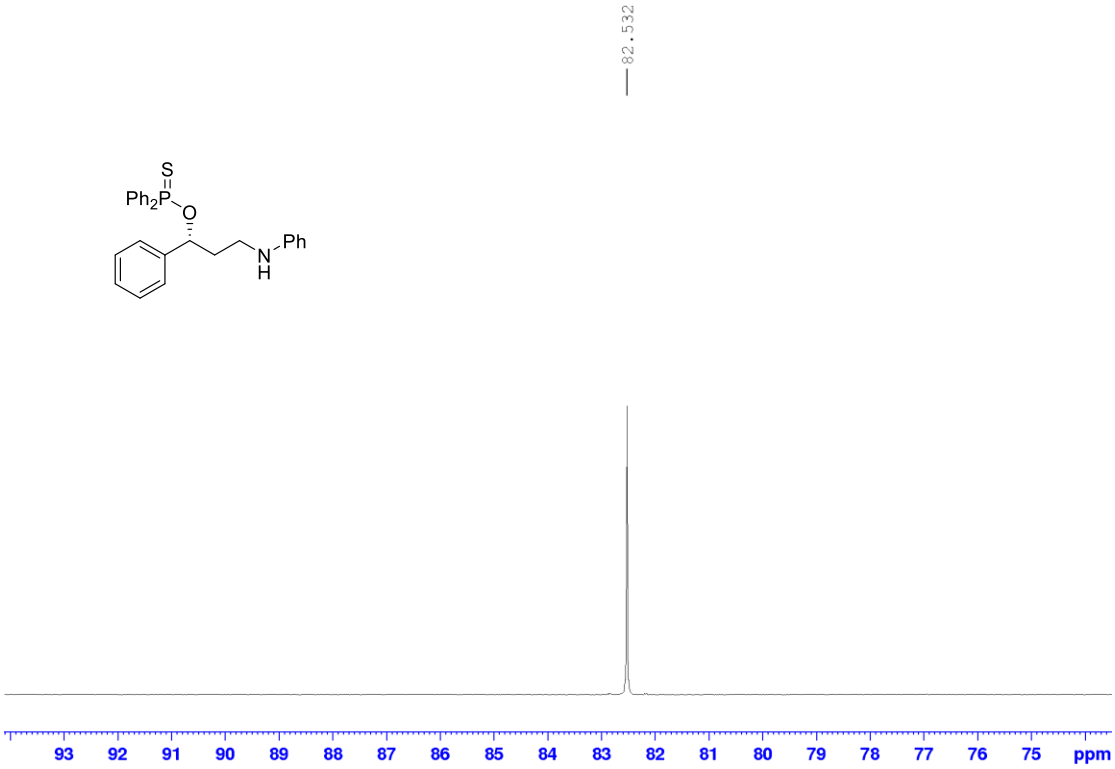
^{13}C NMR of compound **9b**



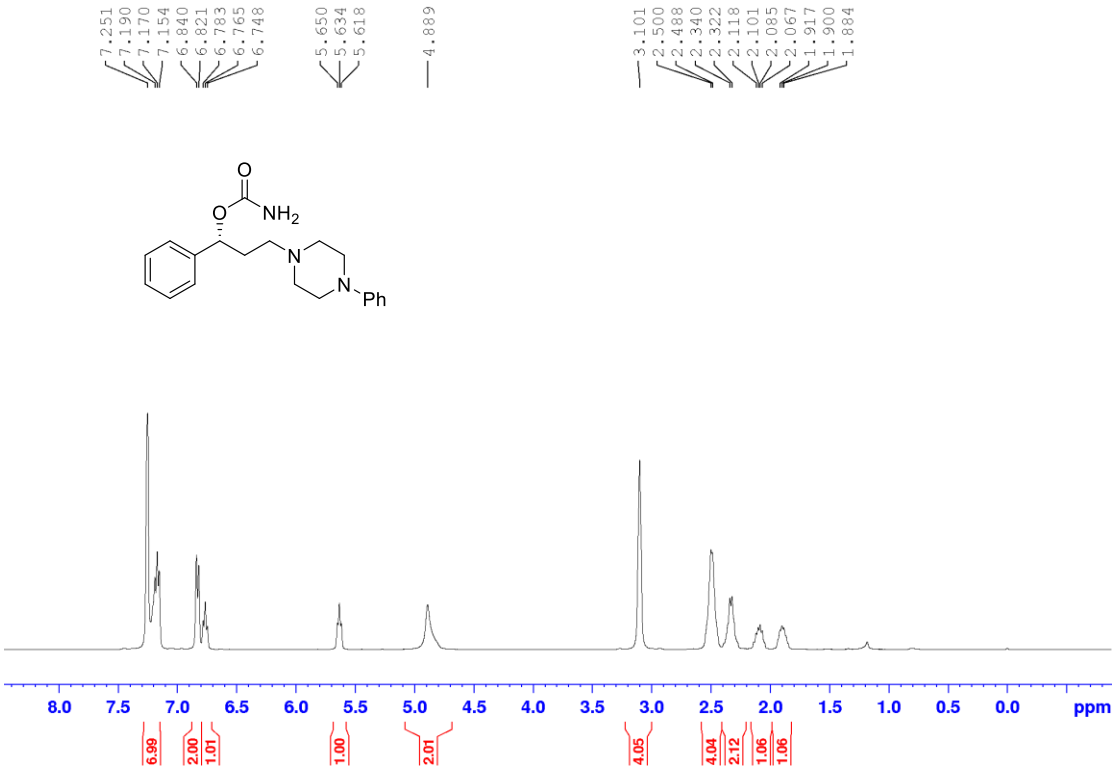
^{1}H NMR of compound **9c**



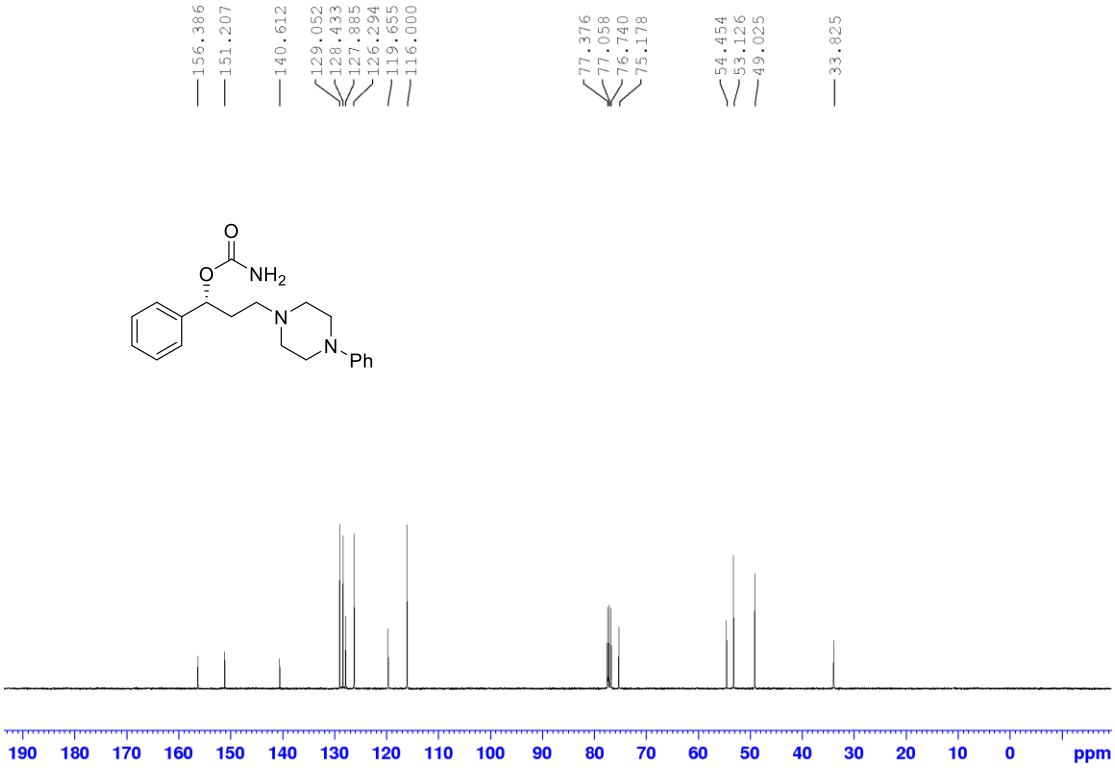
^{13}C NMR of compound **9c**



^{31}P NMR of compound **9c**

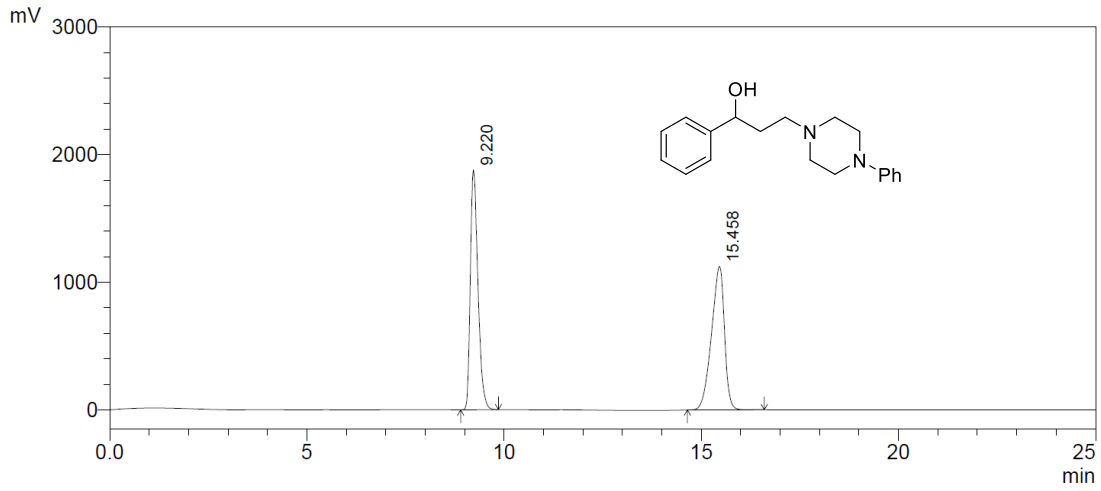


^{1}H NMR of compound **9d**



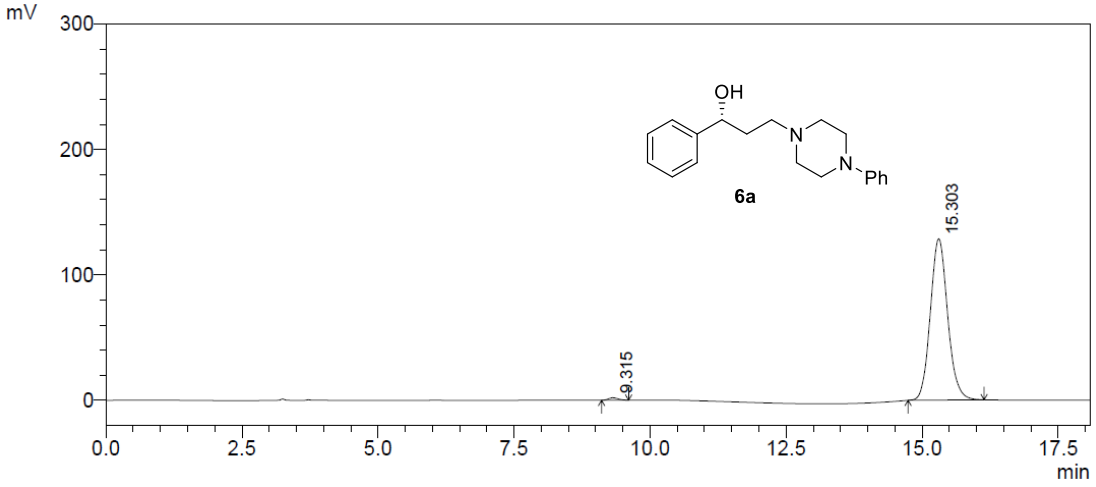
^{13}C NMR of compound **9d**

10. HPLC of the products



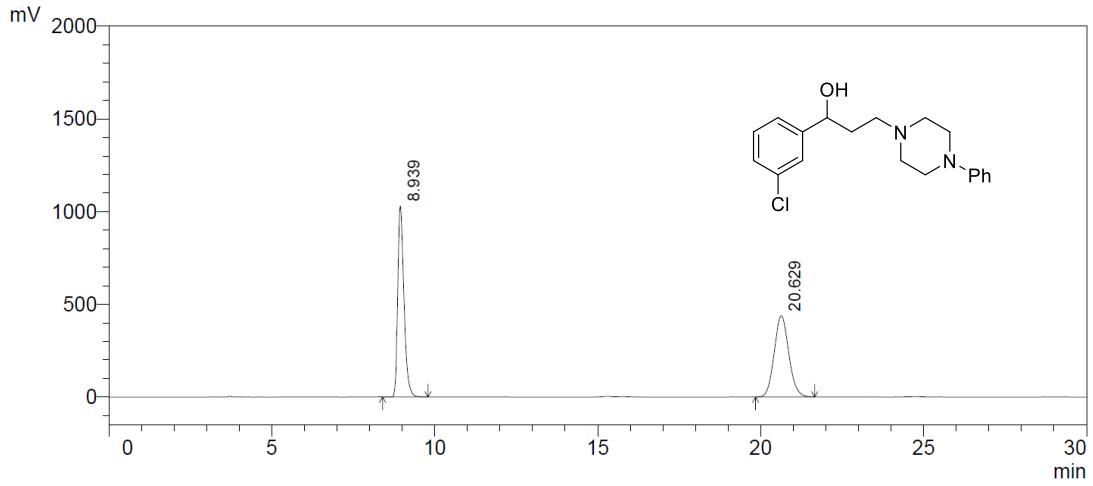
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.220	25246430	49.556
2	15.458	25699094	50.444
Sum		50945524	100.000



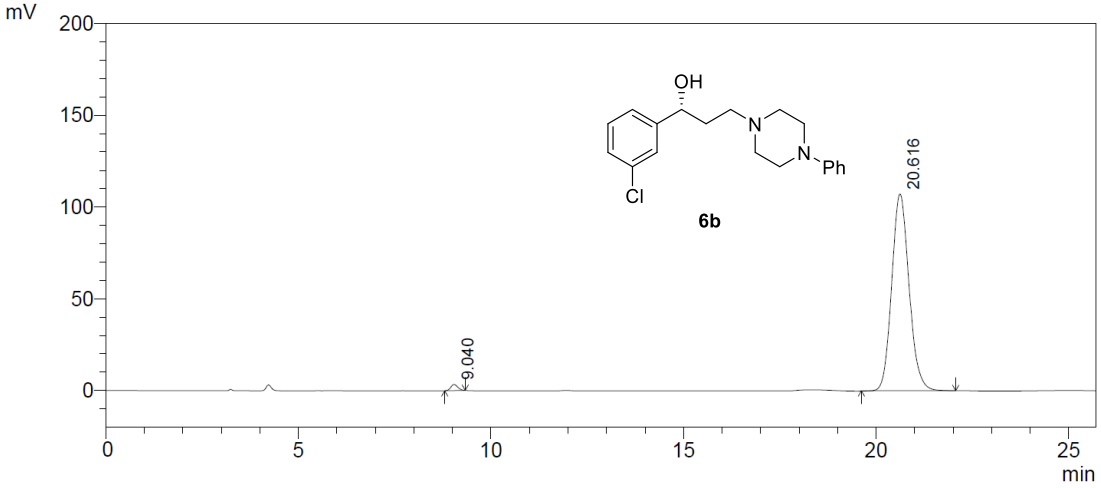
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.315	24433	0.852
2	15.303	2844344	99.148
Sum		2868777	100.000



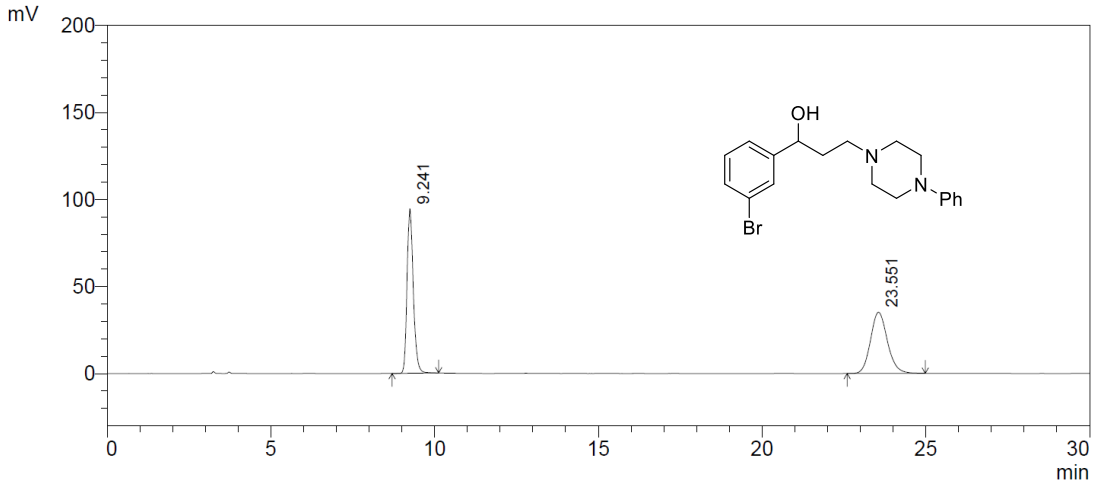
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	8.939	13679368	50.093
2	20.629	13628425	49.907
Sum		27307793	100.000



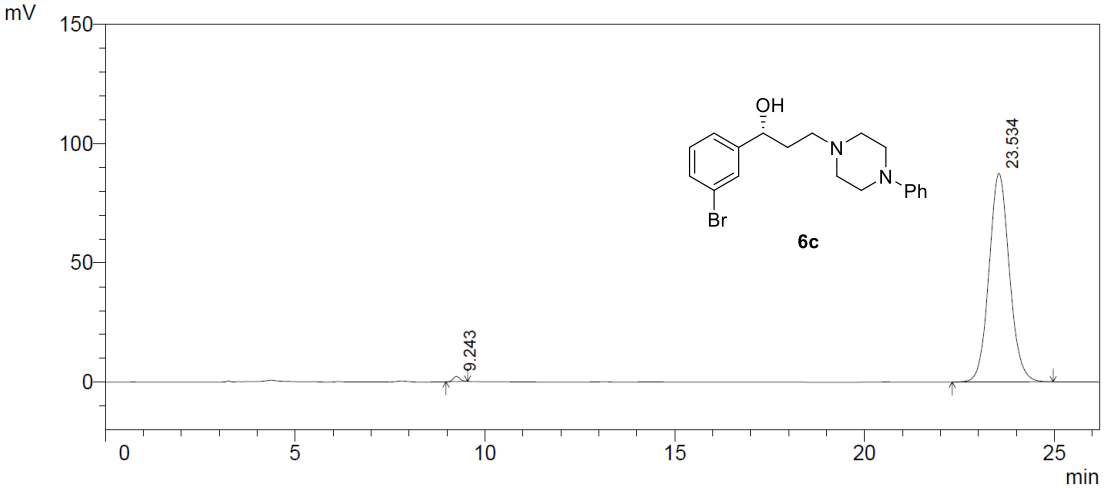
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	9.040	42153	1.239
2	20.616	3358865	98.761
Sum		3401018	100.000



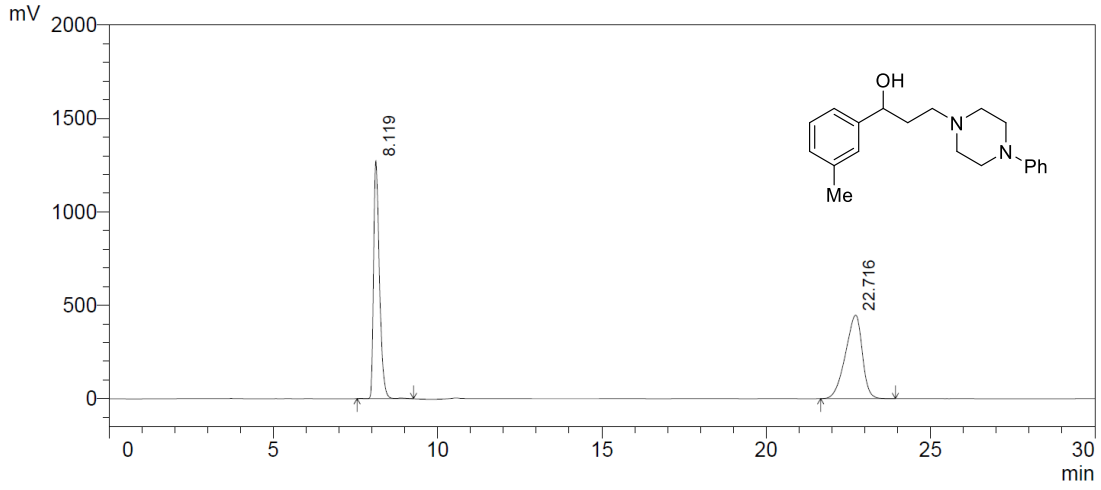
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	9.241	1258376	49.903
2	23.551	1263273	50.097
Sum		2521648	100.000



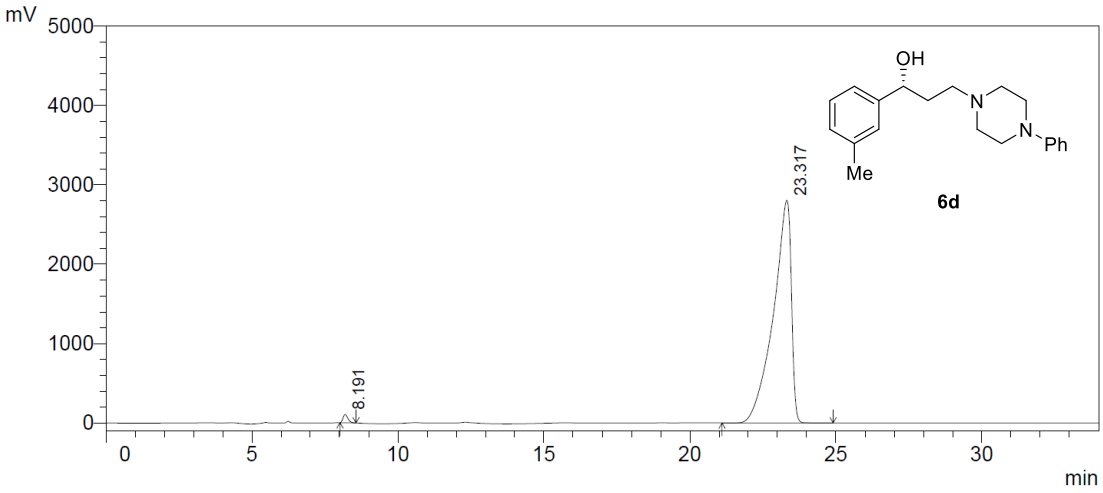
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	9.243	26563	0.807
2	23.534	3265692	99.193
Sum		3292255	100.000



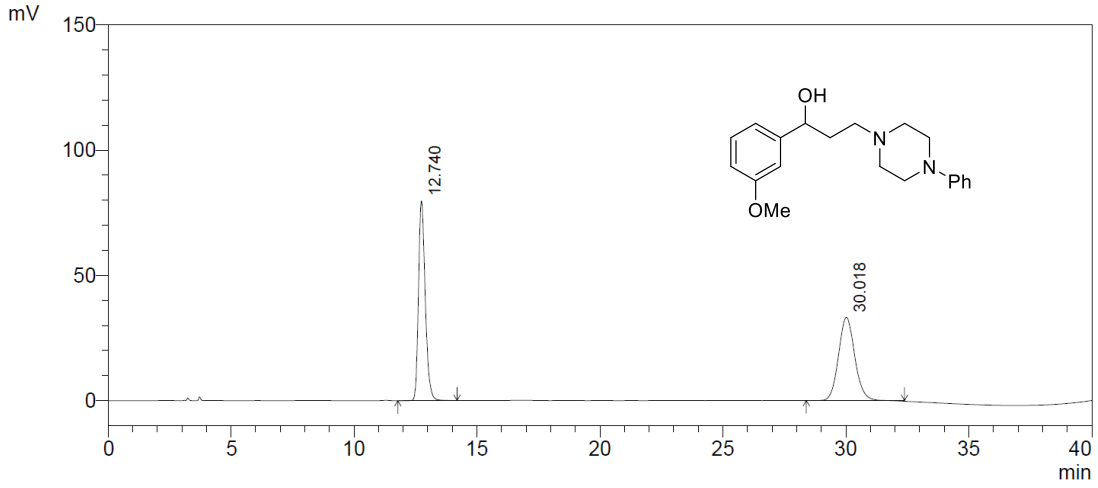
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.119	15787016	49.833
2	22.716	15892928	50.167
Sum		31679944	100.000



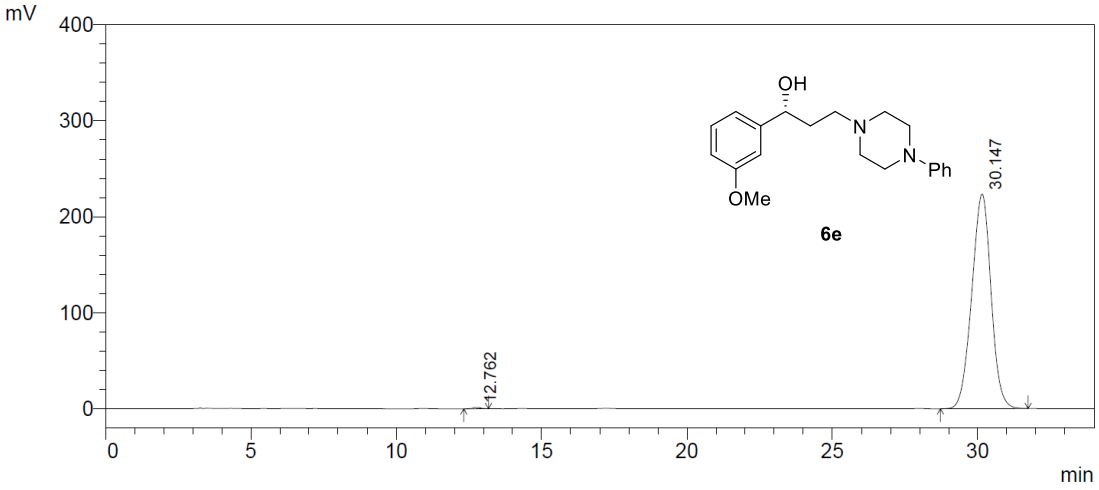
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.191	1223820	1.011
2	23.317	119782428	98.989
Sum		121006248	100.000



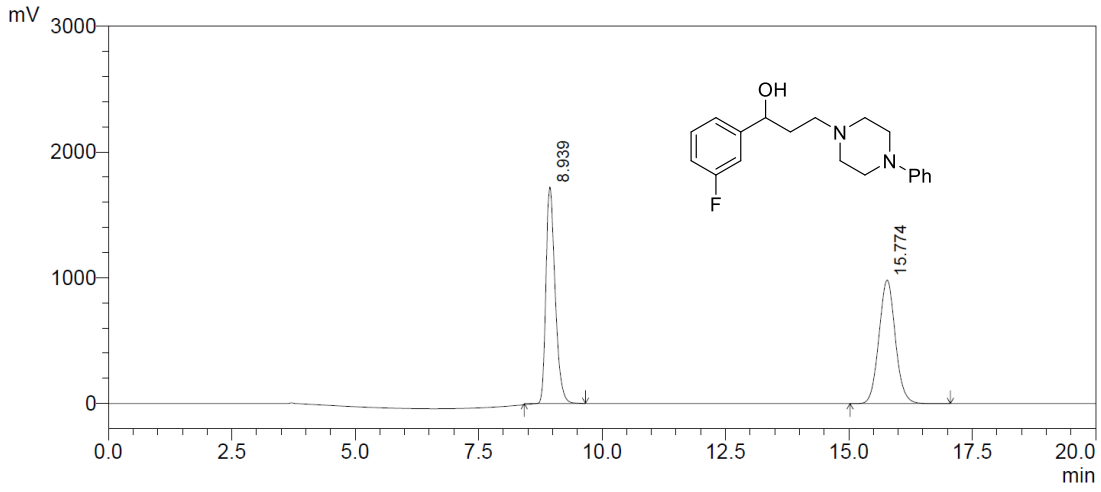
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	12.740	1520421	49.974
2	30.018	1522005	50.026
Sum		3042426	100.000



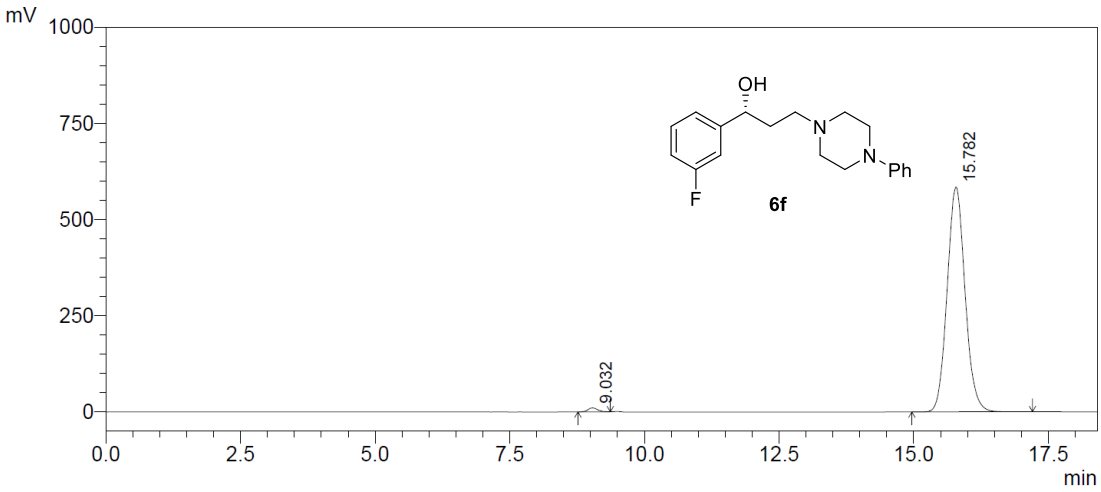
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	12.762	25487	0.246
2	30.147	10314563	99.754
Sum		10340050	100.000



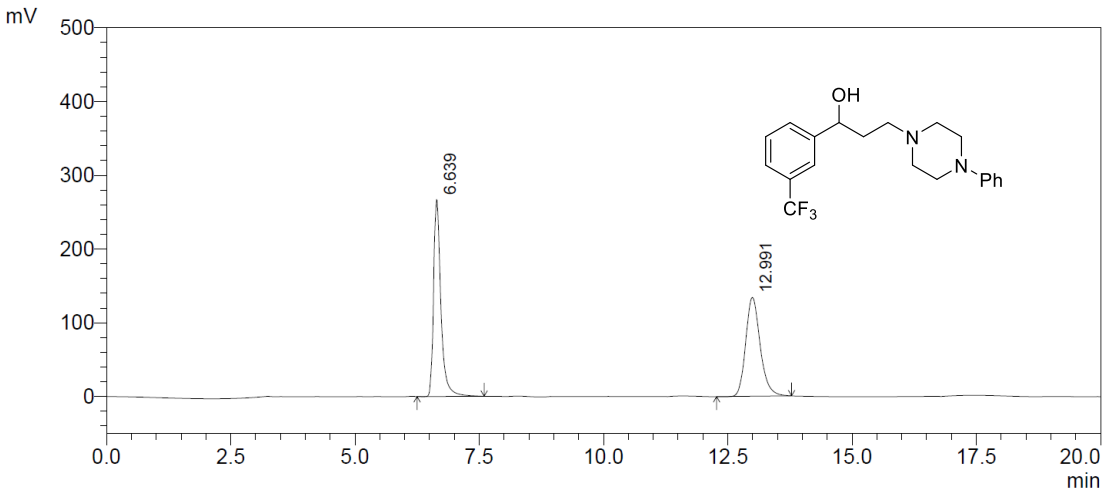
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.939	22384332	49.680
2	15.774	22672815	50.320
Sum		45057146	100.000



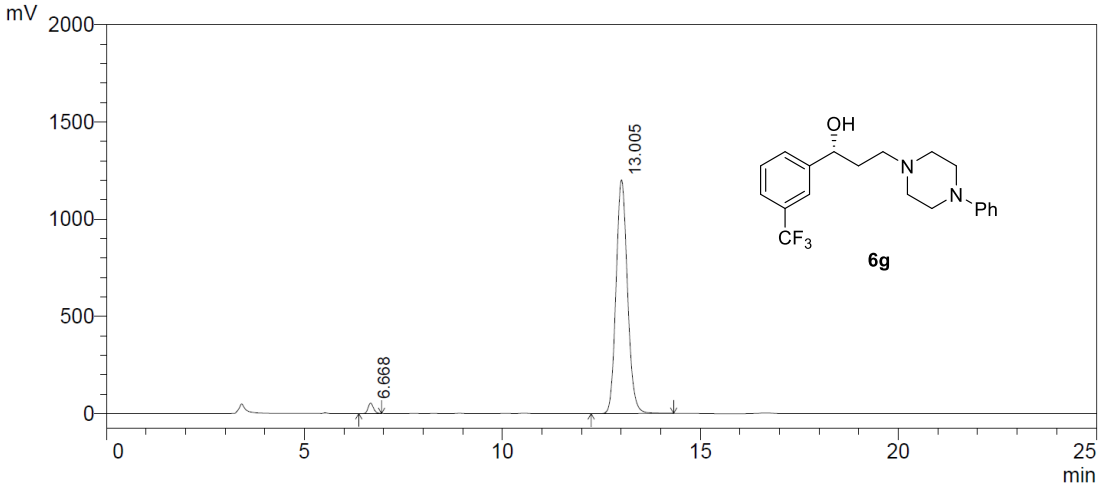
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.032	130345	0.956
2	15.782	13504552	99.044
Sum		13634897	100.000



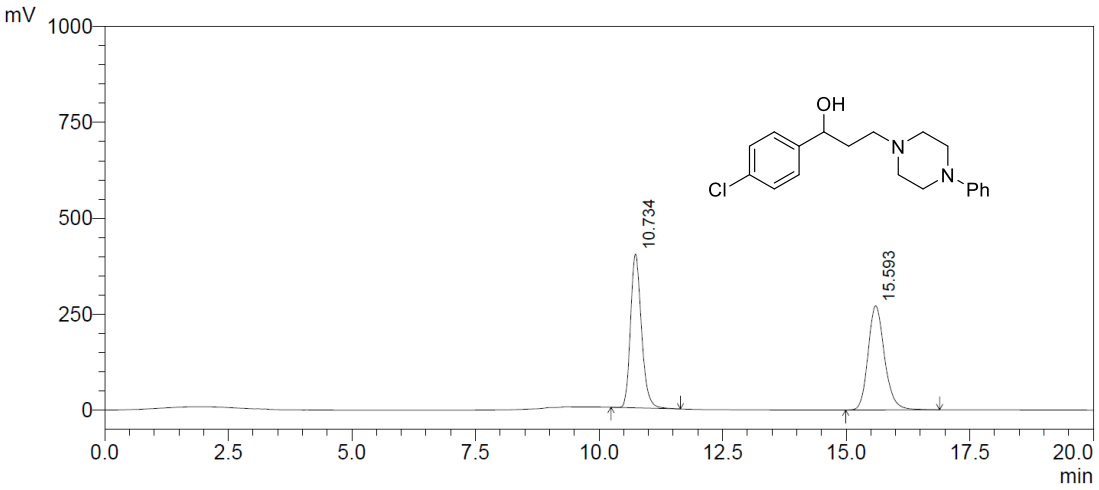
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.639	2744032	50.213
2	12.991	2720729	49.787
Sum		5464762	100.000



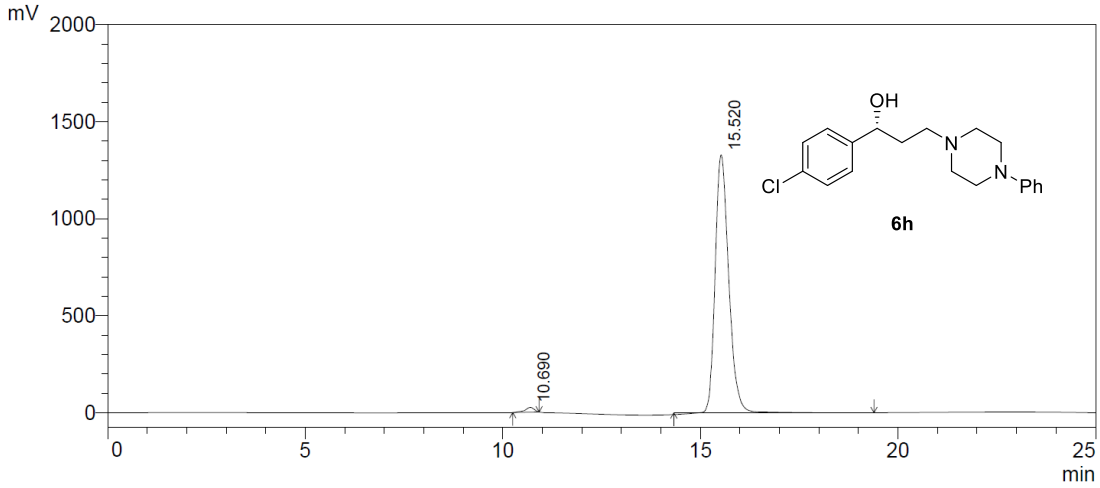
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.668	512114	2.078
2	13.005	24131775	97.922
Sum		24643890	100.000



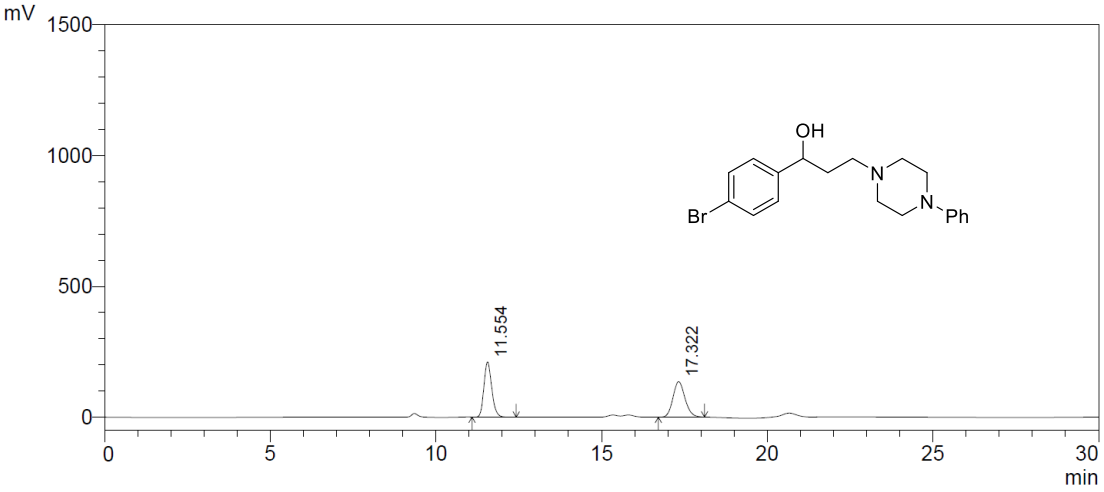
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.734	6188954	49.554
2	15.593	6300307	50.446
Sum		12489261	100.000



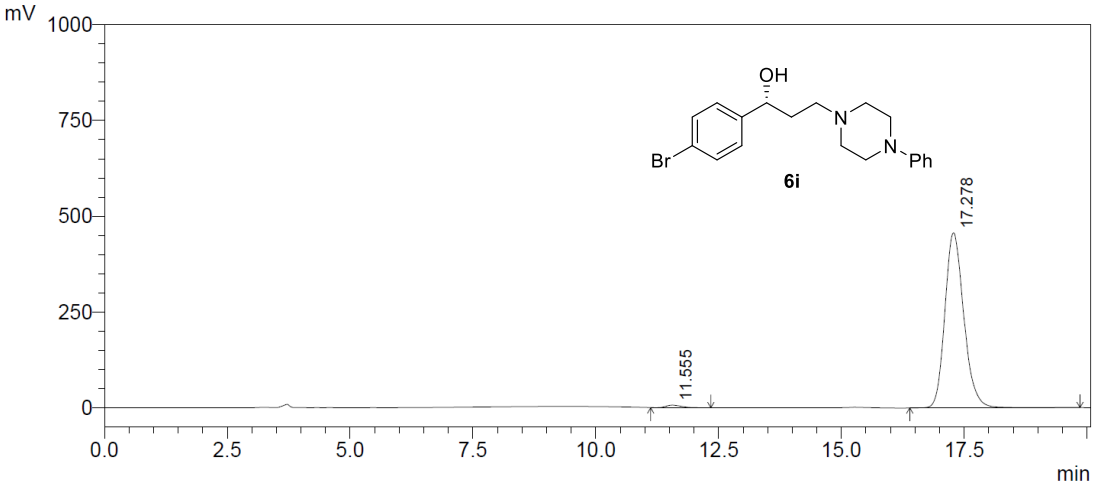
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.690	396649	1.233
2	15.520	31764775	98.767
Sum		32161424	100.000



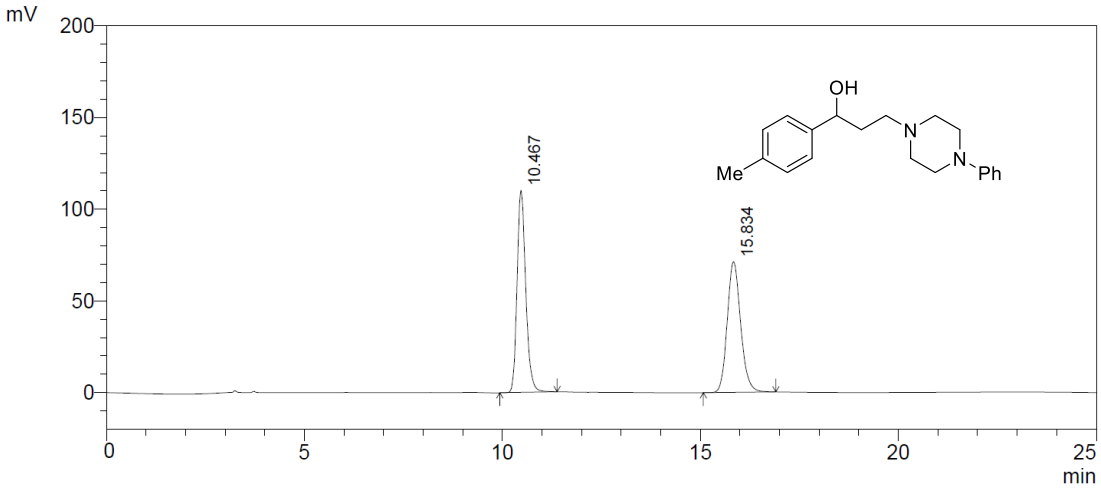
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	11.554	3459405	50.215
2	17.322	3429849	49.785
Sum		6889254	100.000



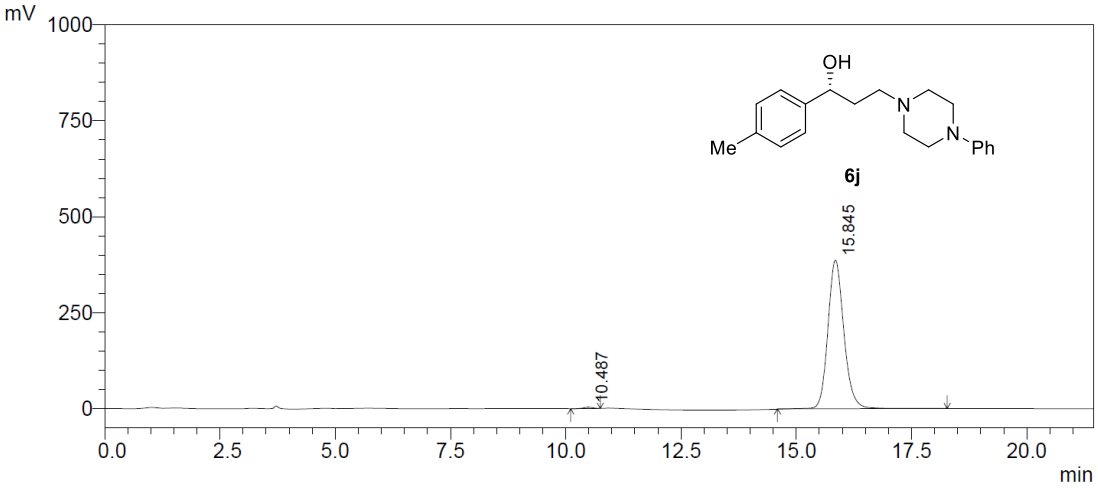
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	11.555	145782	1.178
2	17.278	12232413	98.822
Sum		12378195	100.000



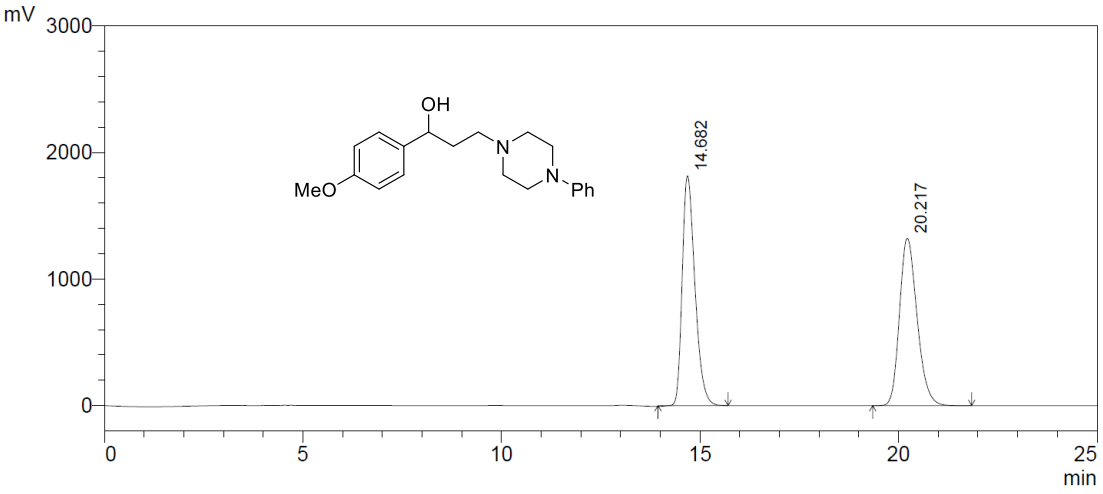
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.467	1674583	49.955
2	15.834	1677567	50.045
Sum		3352150	100.000



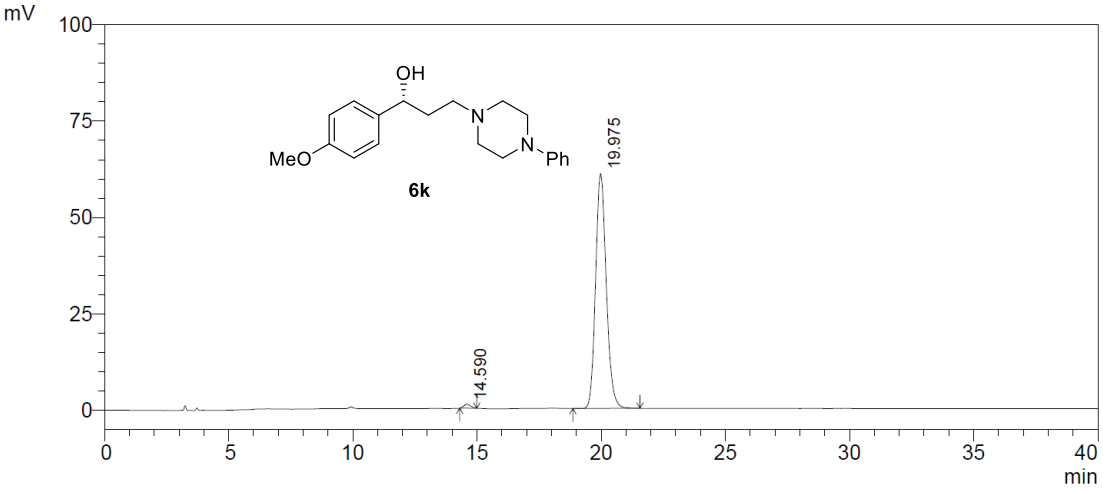
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.487	48367	0.517
2	15.845	9302492	99.483
Sum		9350859	100.000



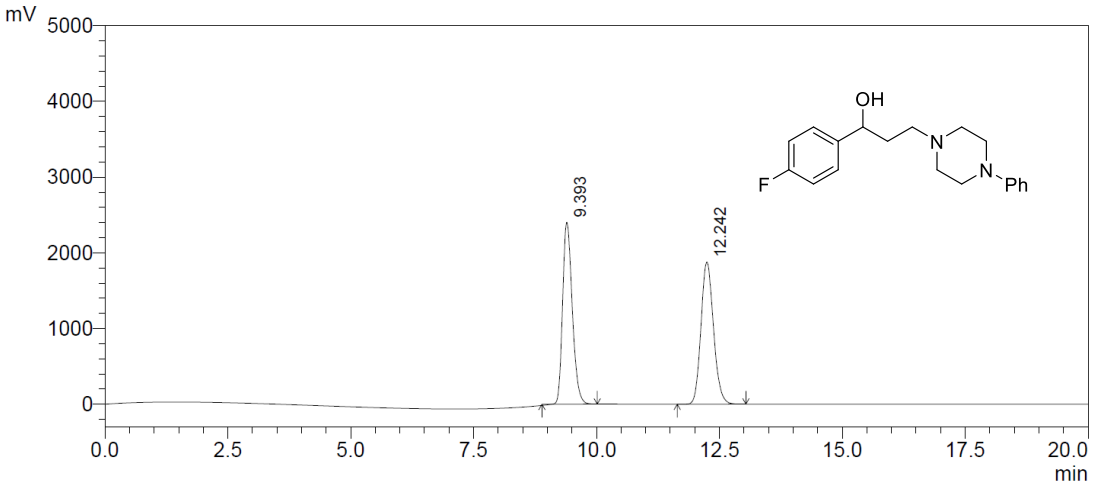
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.682	40423996	49.847
2	20.217	40672679	50.153
Sum		81096675	100.000



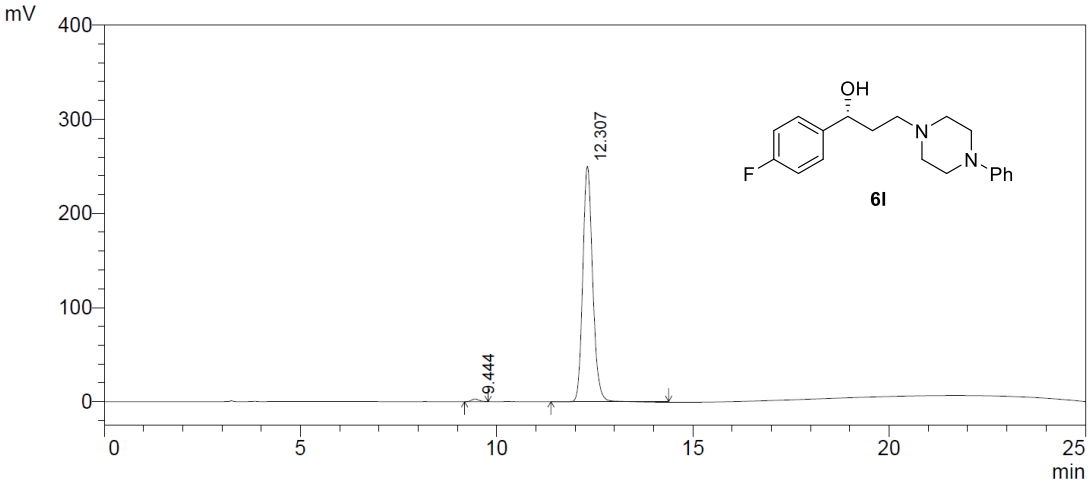
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.590	19615	1.065
2	19.975	1822249	98.935
Sum		1841864	100.000



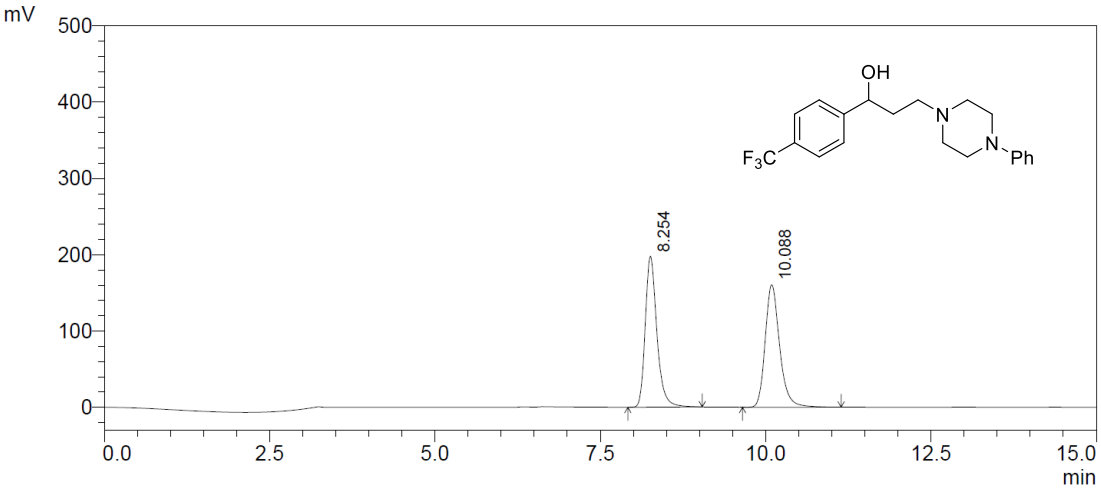
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.393	32805962	49.592
2	12.242	33345437	50.408
Sum		66151399	100.000



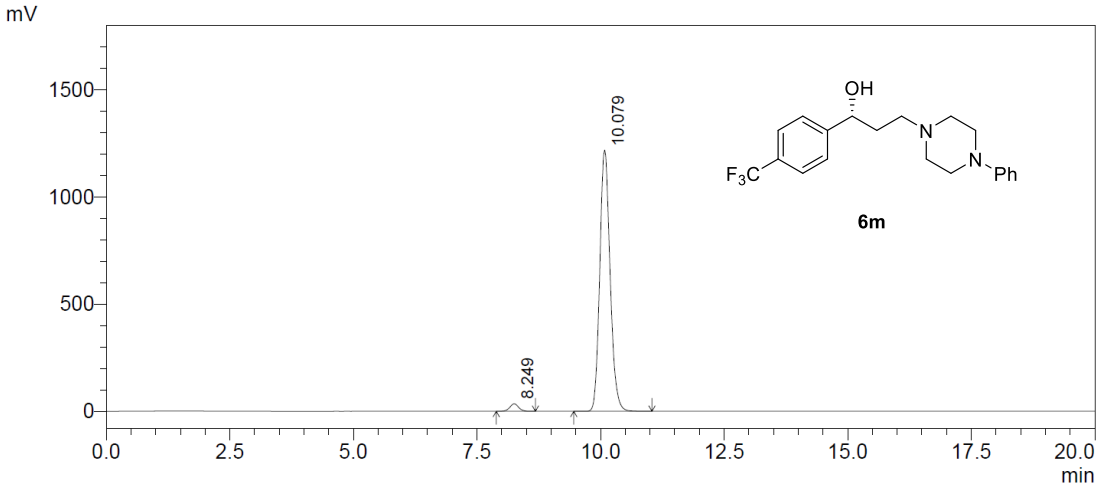
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.444	35930	0.815
2	12.307	4372352	99.185
Sum		4408282	100.000



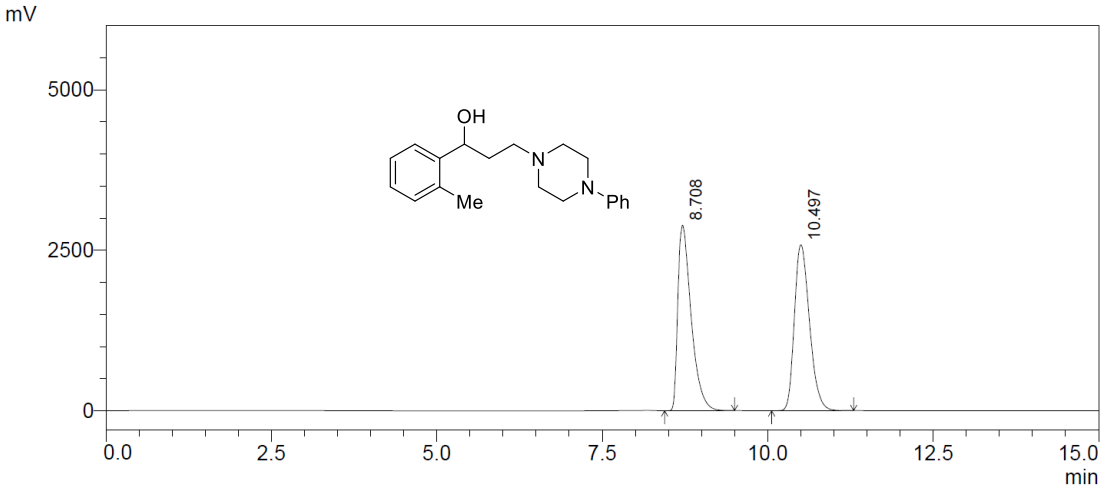
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.254	2427763	49.845
2	10.088	2442842	50.155
Sum		4870606	100.000



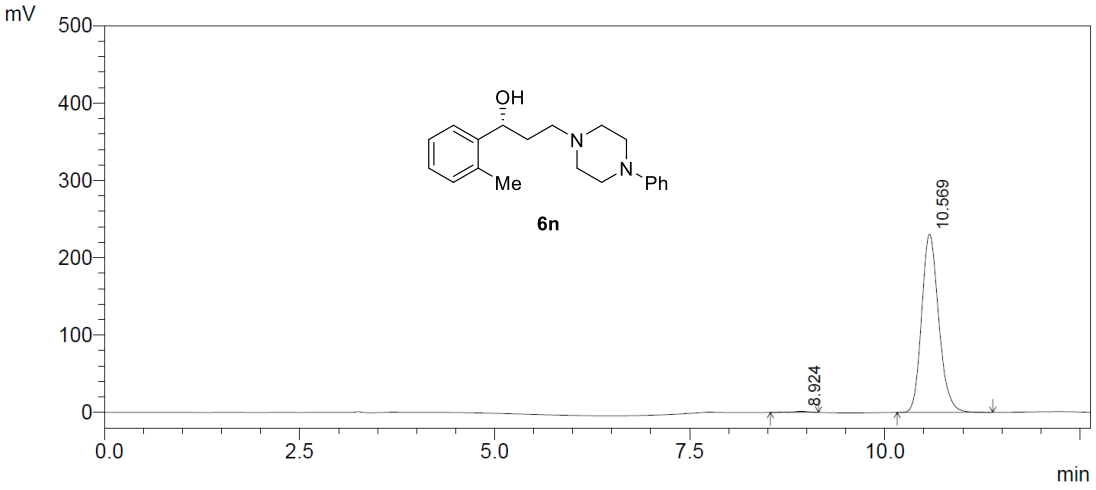
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.249	446526	2.456
2	10.079	17733760	97.544
Sum		18180285	100.000



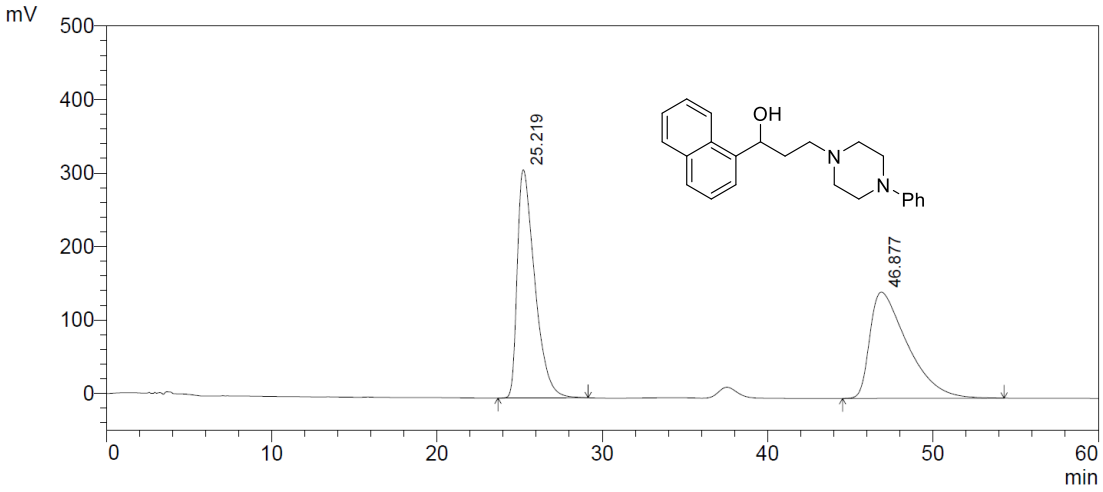
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.708	40563055	49.662
2	10.497	41115921	50.338
Sum		81678976	100.000



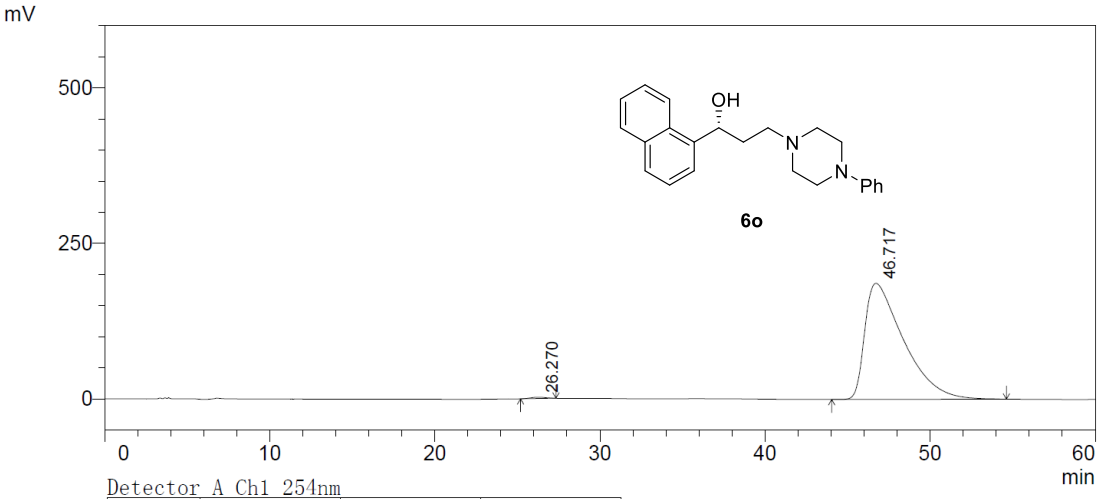
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.924	19726	0.558
2	10.569	3514296	99.442
Sum		3534022	100.000



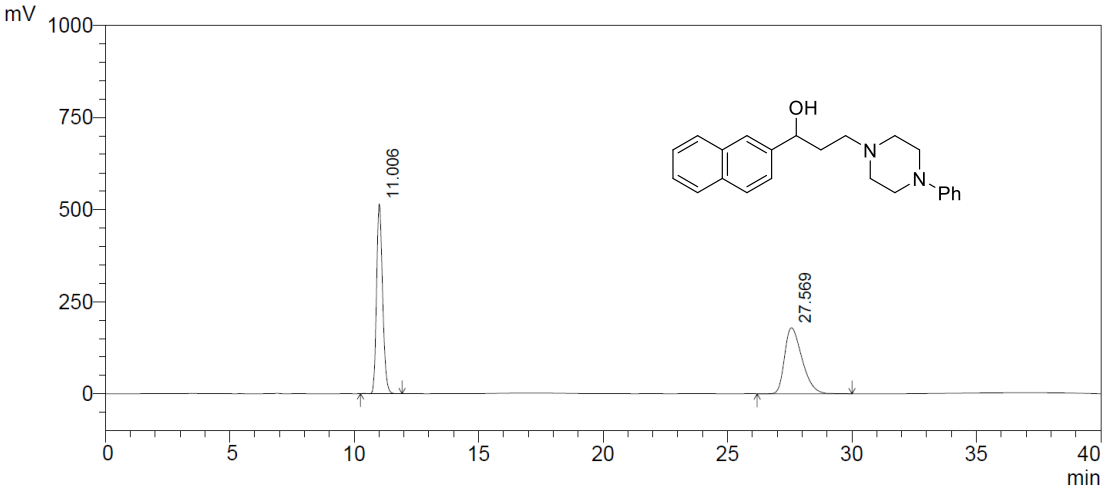
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	25.219	22777822	49.953
2	46.877	22820856	50.047
Sum		45598678	100.000



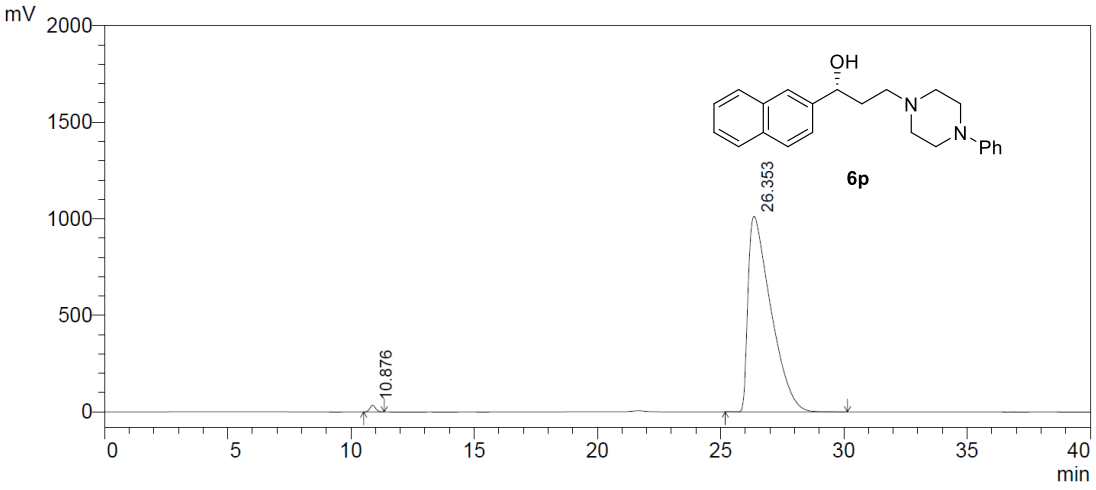
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	26.270	136392	0.445
2	46.717	30537345	99.555
Sum		30673737	100.000



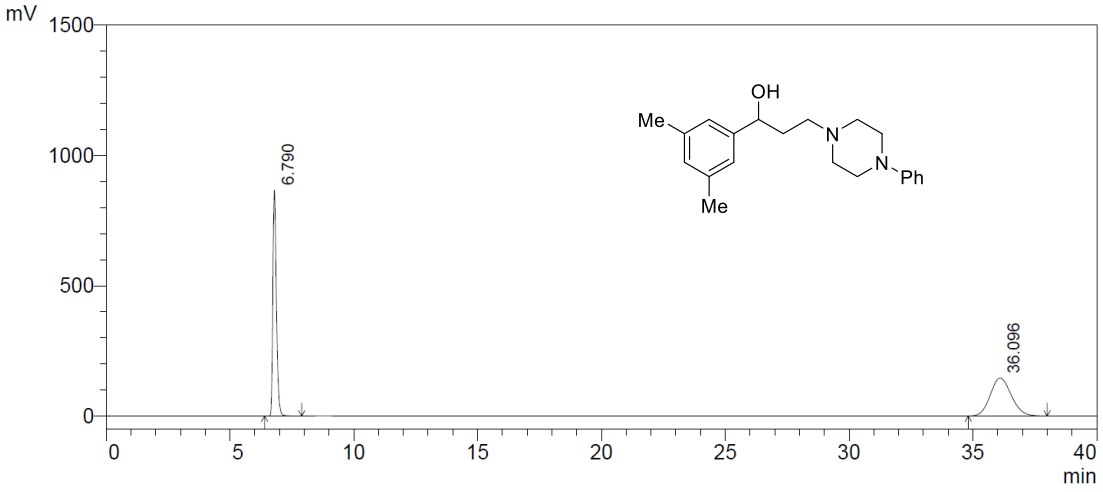
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	11.006	8683252	49.843
2	27.569	8738038	50.157
Sum		17421290	100.000



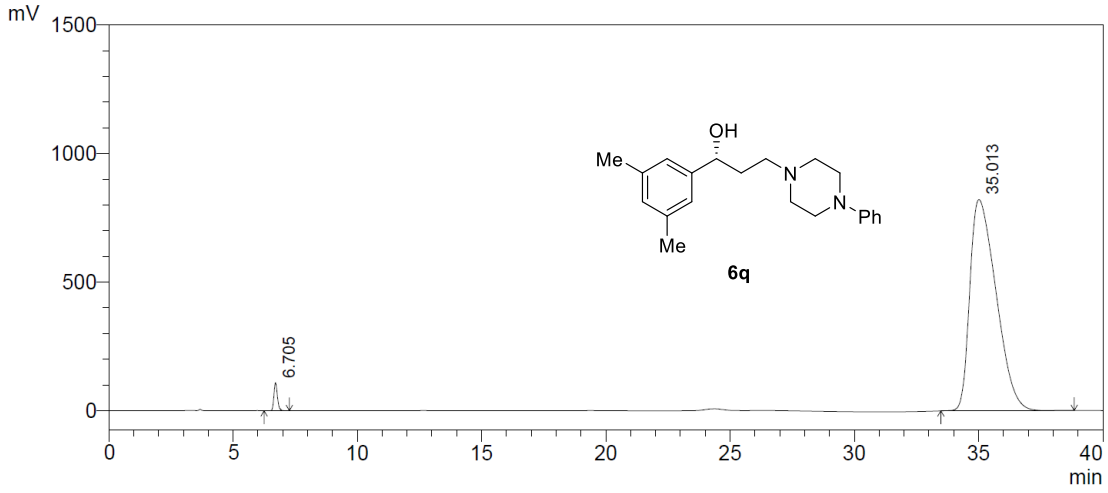
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	10.876	576498	0.877
2	26.353	65171278	99.123
Sum		65747777	100.000



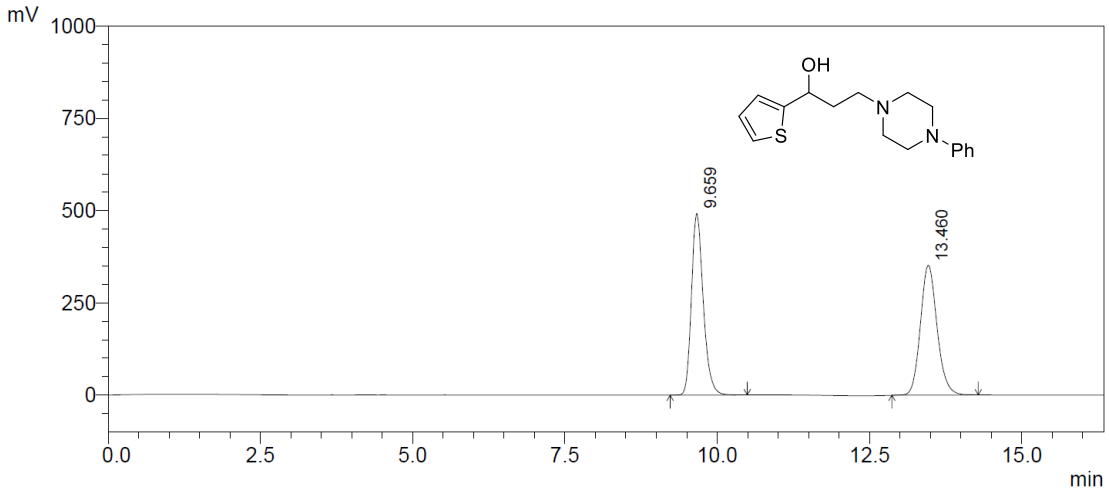
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	6.790	8599783	49.904
2	36.096	8632840	50.096
Sum		17232623	100.000



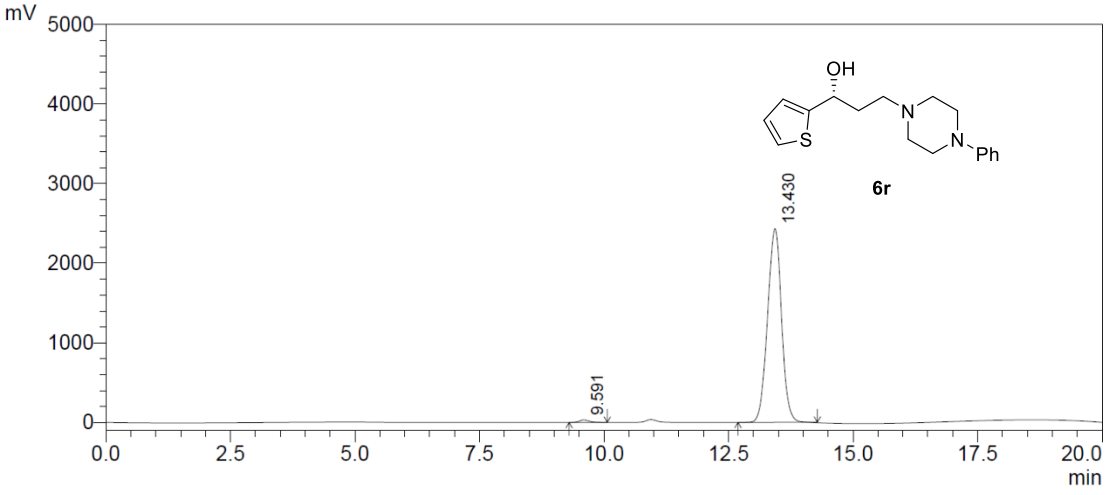
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	6.705	1057347	1.726
2	35.013	60203874	98.274
Sum		61261222	100.000



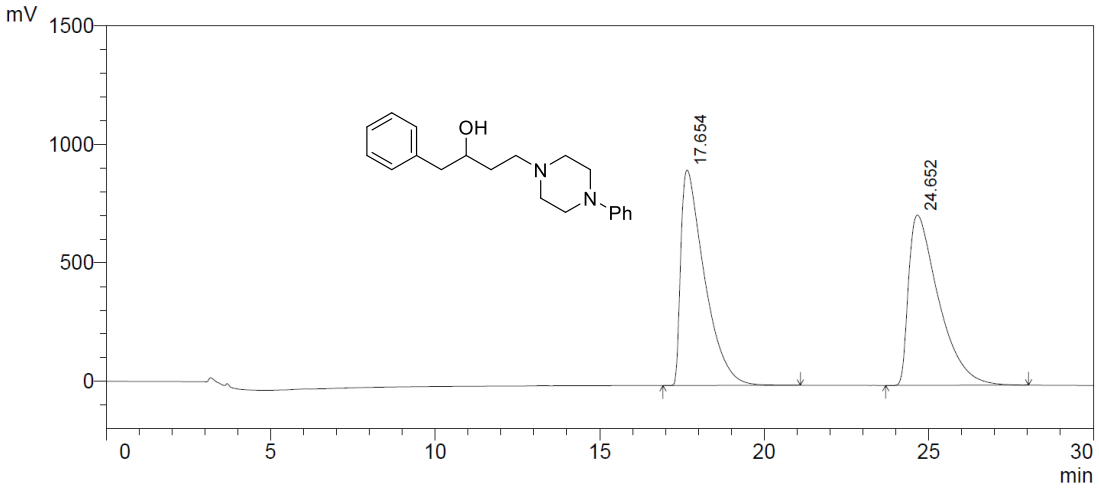
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.659	6645188	49.882
2	13.460	6676731	50.118
Sum		13321919	100.000



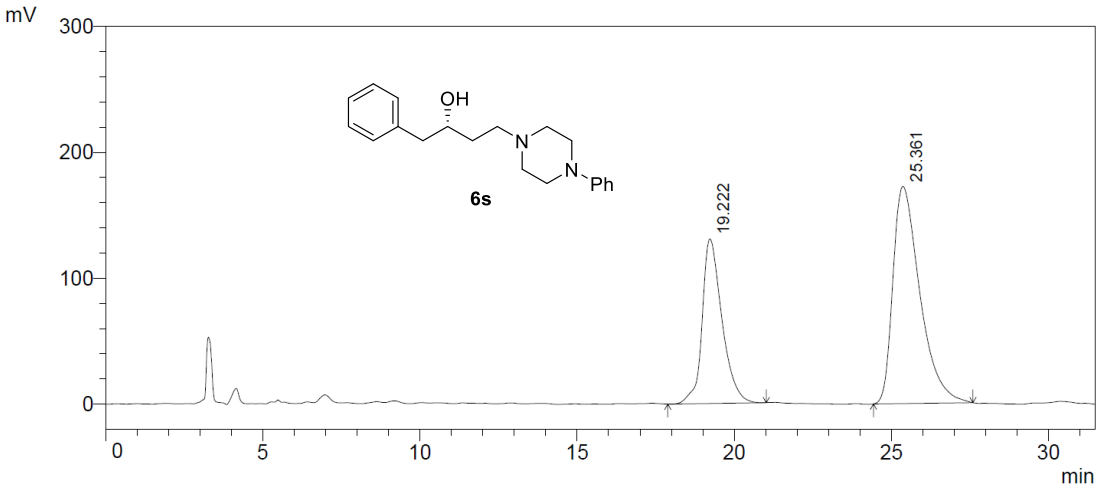
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	9.591	386271	0.806
2	13.430	47562721	99.194
Sum		47948992	100.000



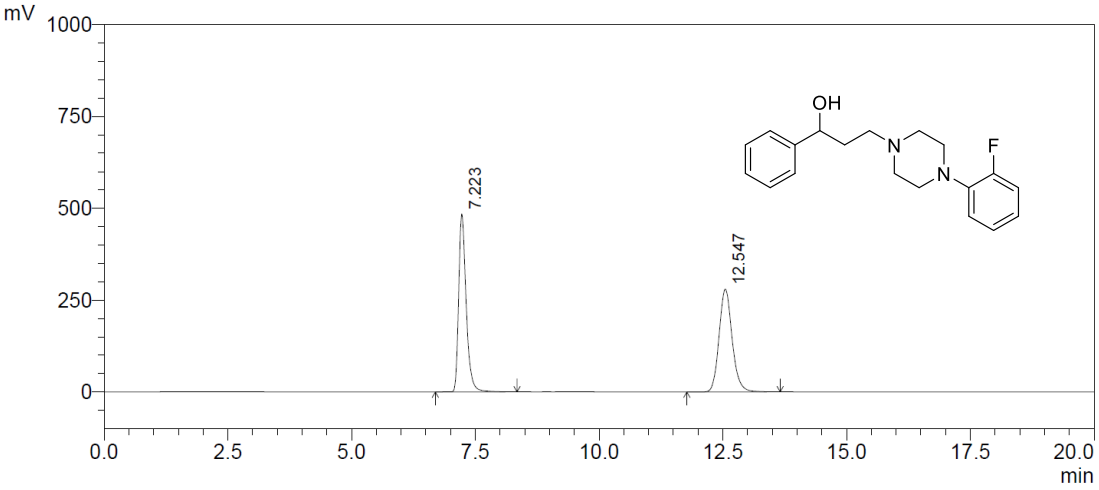
Detector A Ch1 210nm

Peak#	Ret.Time	Area	Area %
1	17.654	45476208	49.869
2	24.652	45715421	50.131
Sum		91191629	100.000



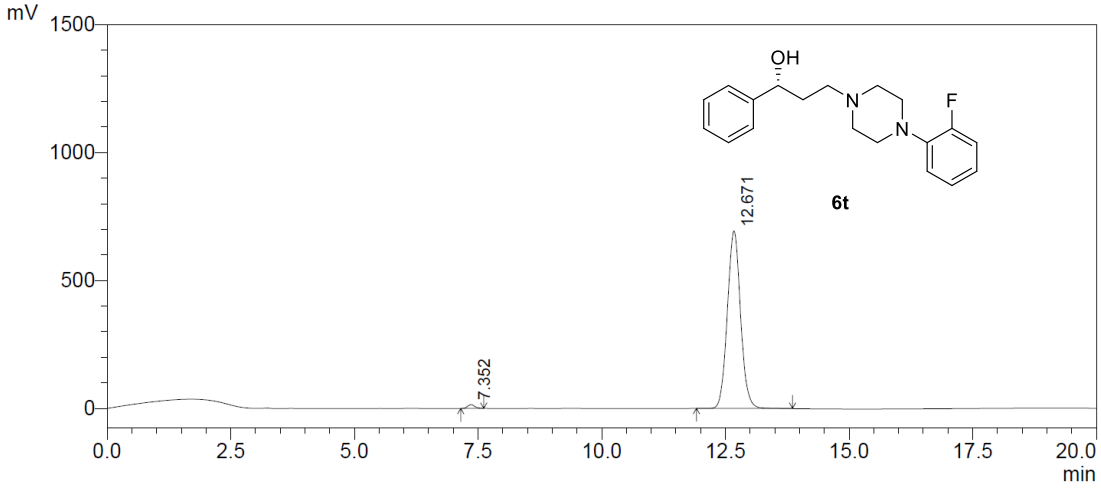
Detector A Ch1 210nm

Peak#	Ret.Time	Area	Area %
1	19.222	5723374	35.729
2	25.361	10295684	64.271
Sum		16019058	100.000



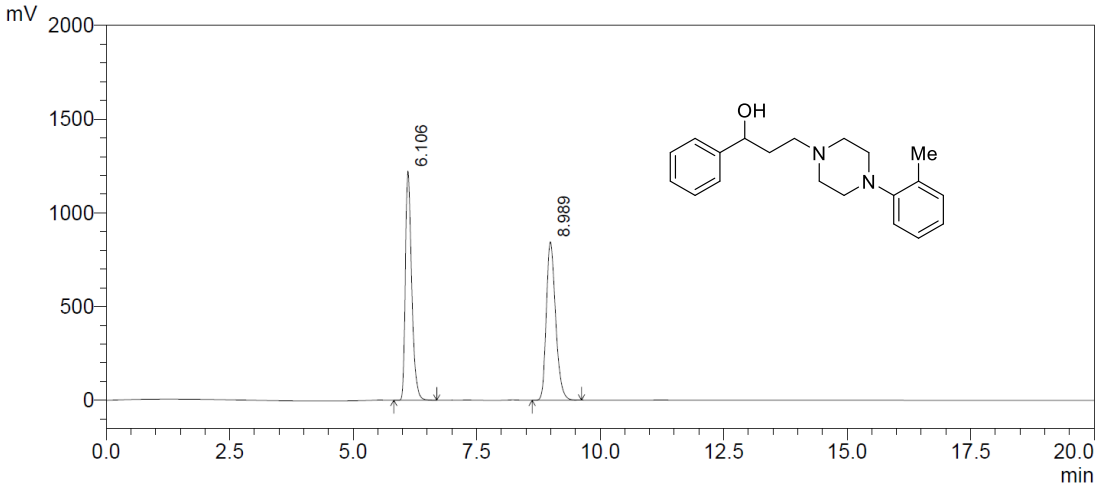
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	7.223	5120165	49.851
2	12.547	5150712	50.149
Sum		10270877	100.000



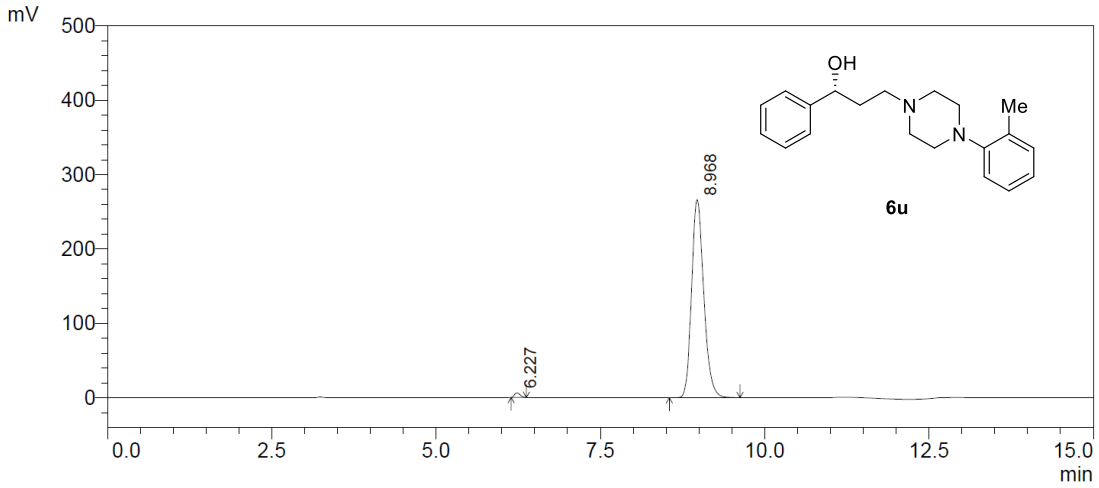
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	7.352	143543	1.104
2	12.671	12858084	98.896
Sum		13001627	100.000



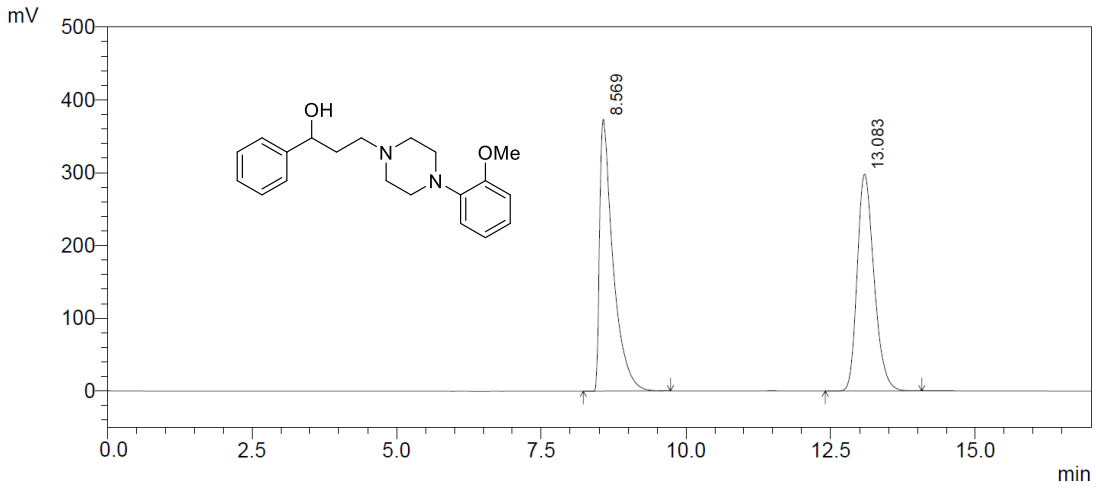
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.106	10917390	49.663
2	8.989	11065604	50.337
Sum		21982995	100.000



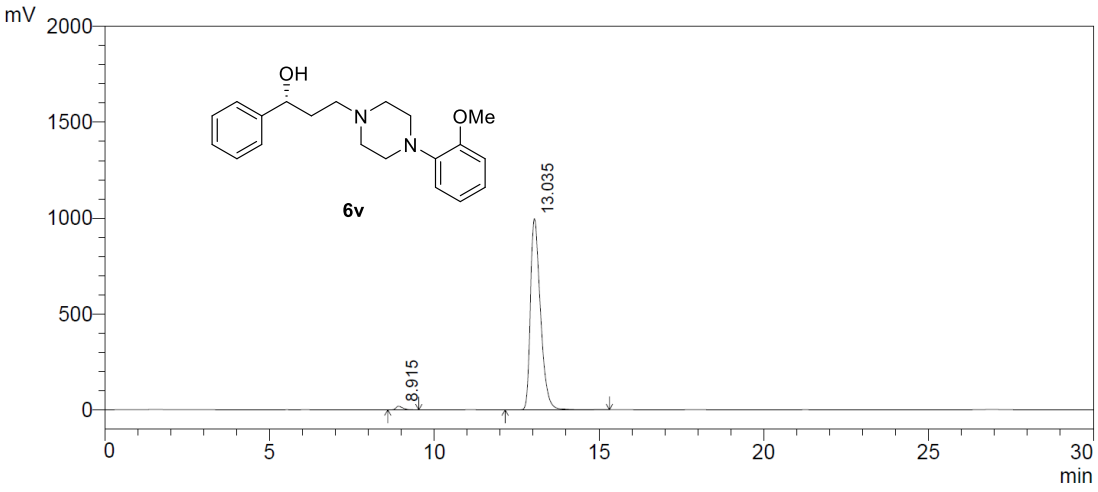
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.227	37623	1.083
2	8.968	3435145	98.917
Sum		3472768	100.000



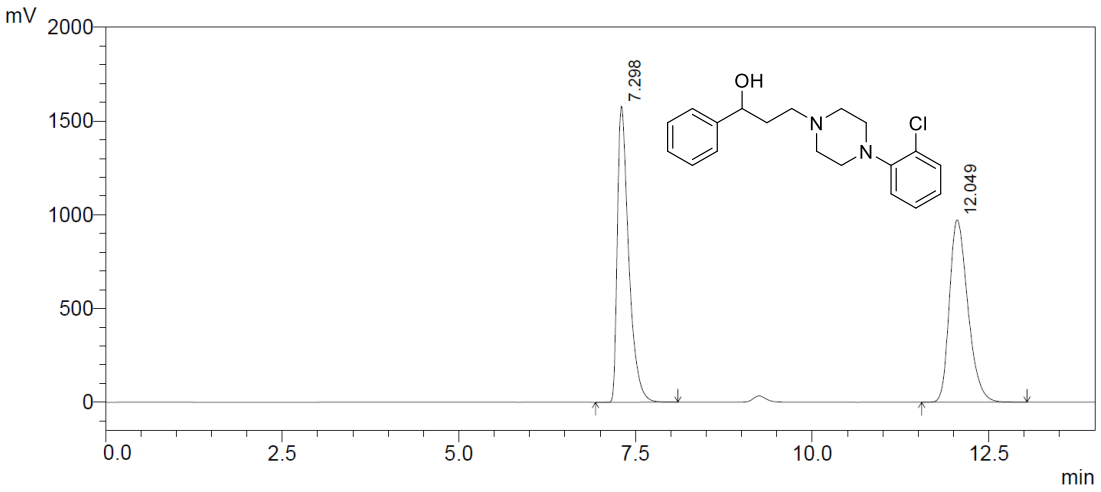
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.569	6028351	49.987
2	13.083	6031461	50.013
Sum		12059813	100.000



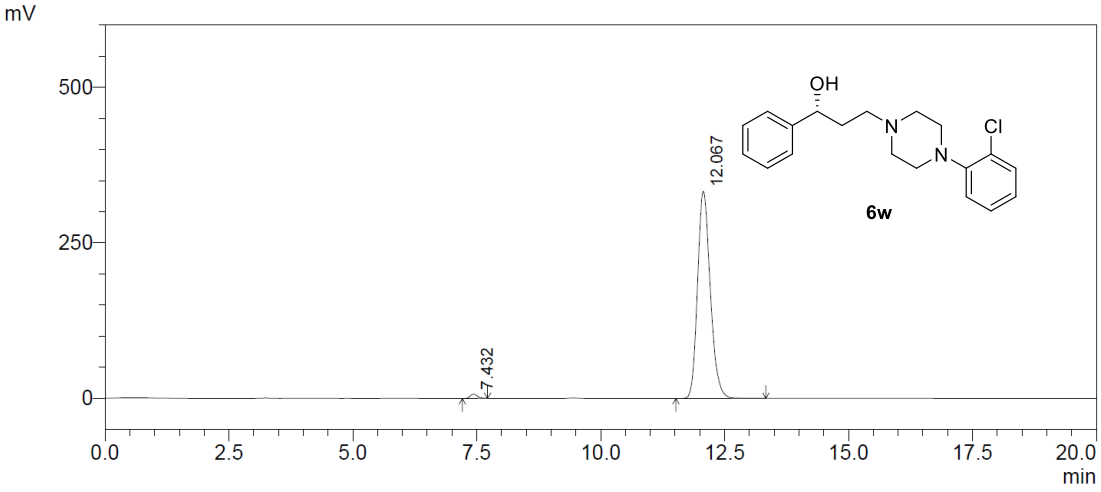
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.915	273735	1.279
2	13.035	21129437	98.721
Sum		21403171	100.000



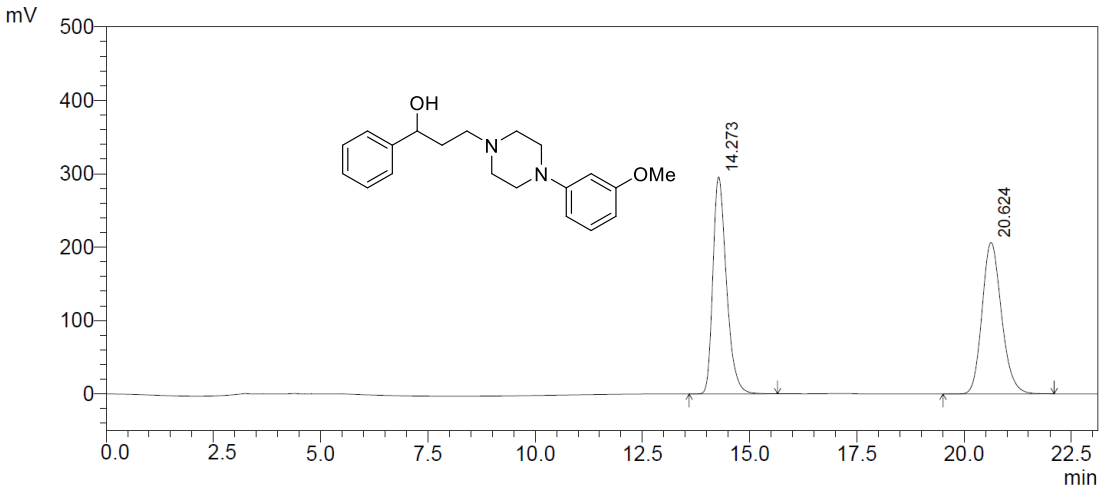
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	7.298	17642167	49.944
2	12.049	17681954	50.056
Sum		35324121	100.000



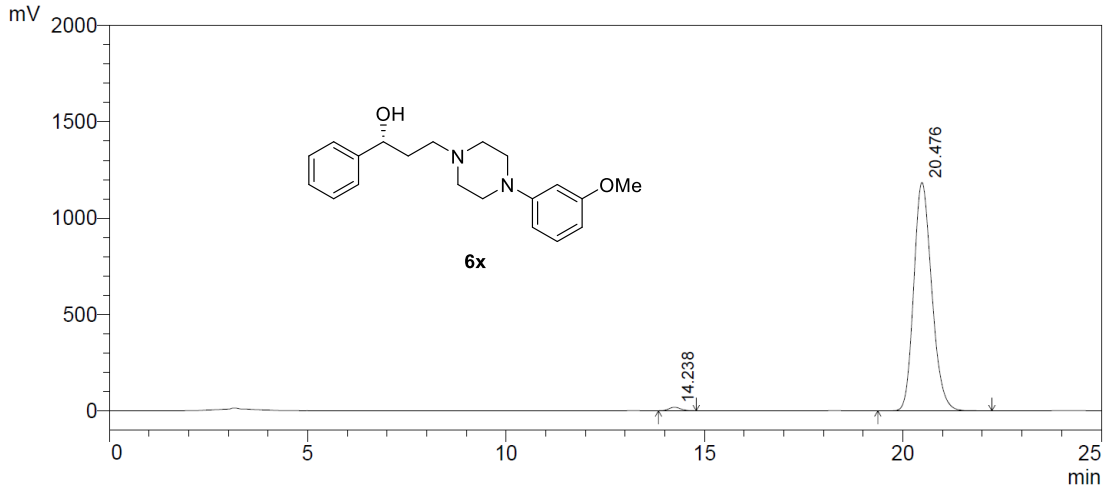
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	7.432	72736	1.204
2	12.067	5966614	98.796
Sum		6039350	100.000



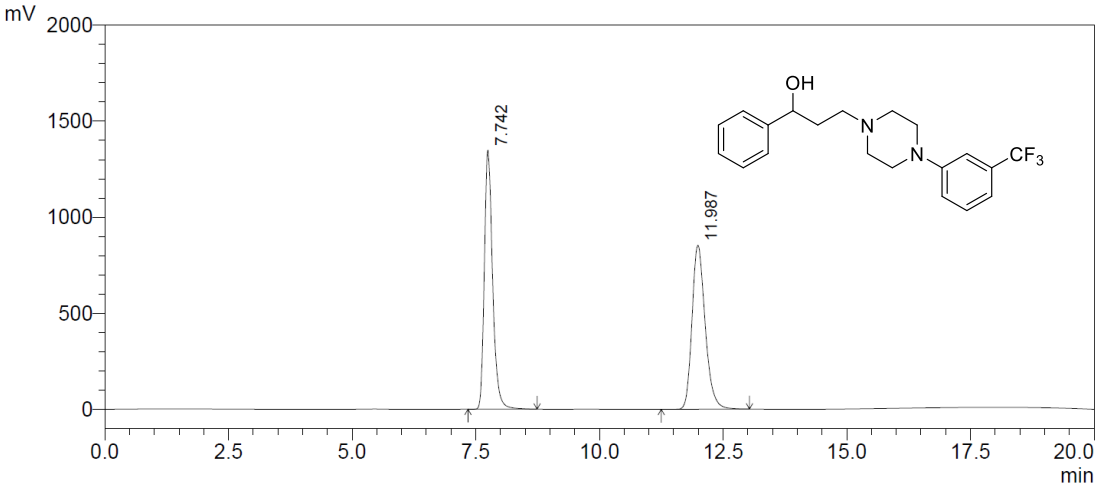
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.273	6507398	49.972
2	20.624	6514799	50.028
Sum		13022197	100.000



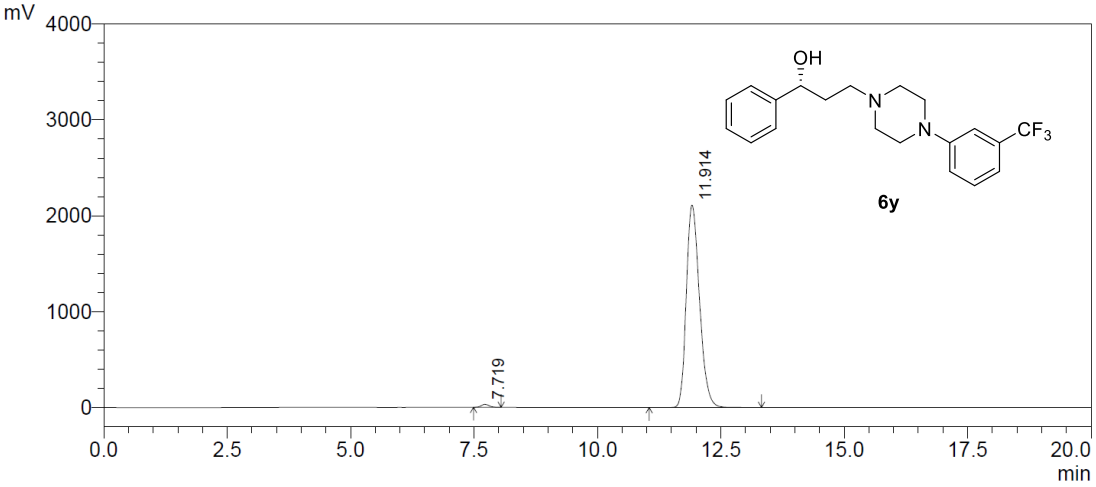
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.238	380112	1.008
2	20.476	37346086	98.992
Sum		37726198	100.000



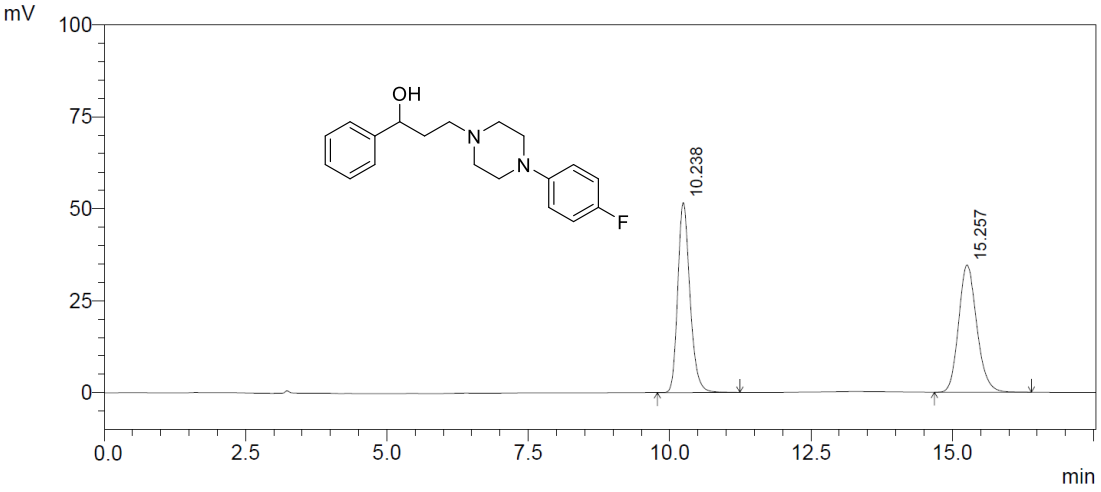
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	7.742	15724863	49.804
2	11.987	15848667	50.196
Sum		31573530	100.000



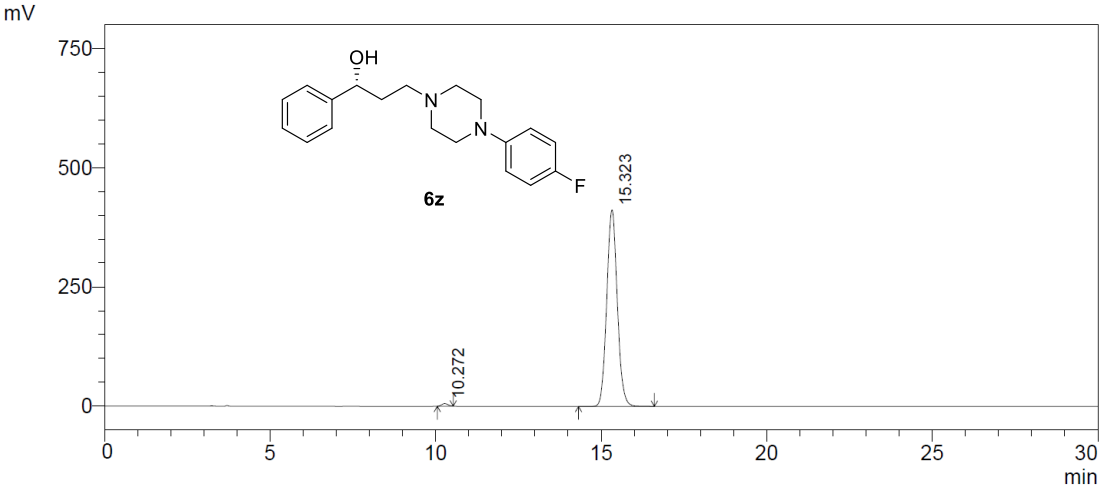
Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	7.719	334741	0.847
2	11.914	39206693	99.153
Sum		39541434	100.000



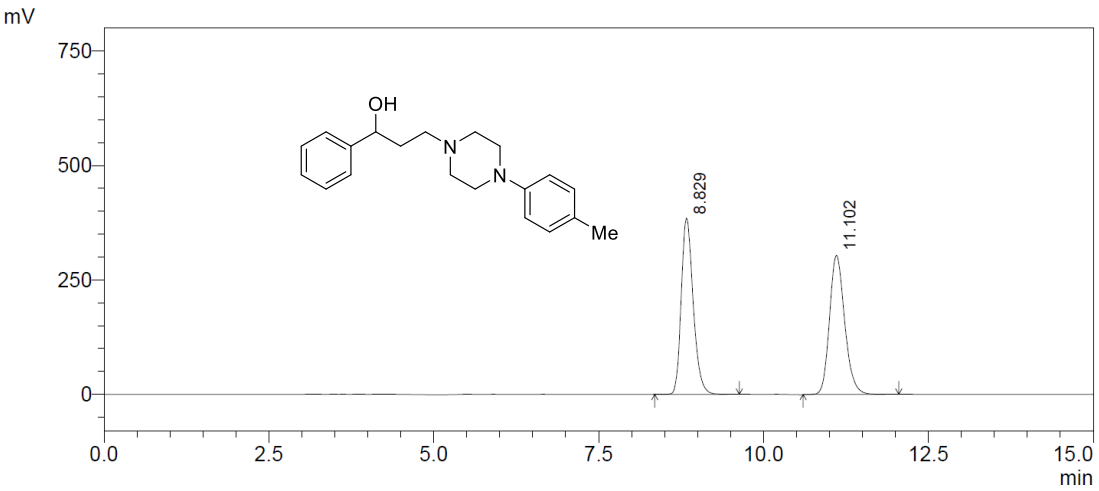
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.238	776071	50.007
2	15.257	775847	49.993
Sum		1551919	100.000



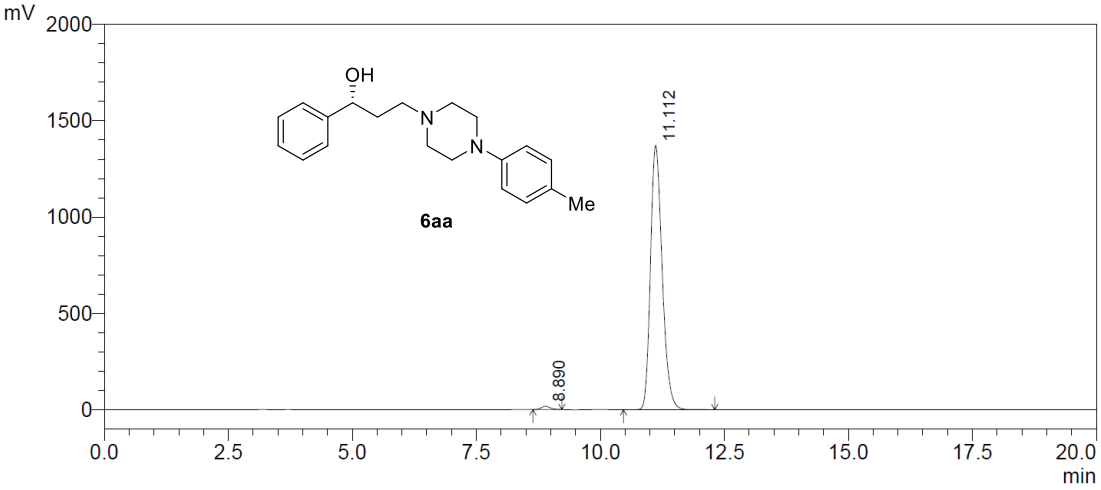
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.272	72509	0.787
2	15.323	9143557	99.213
Sum		9216066	100.000



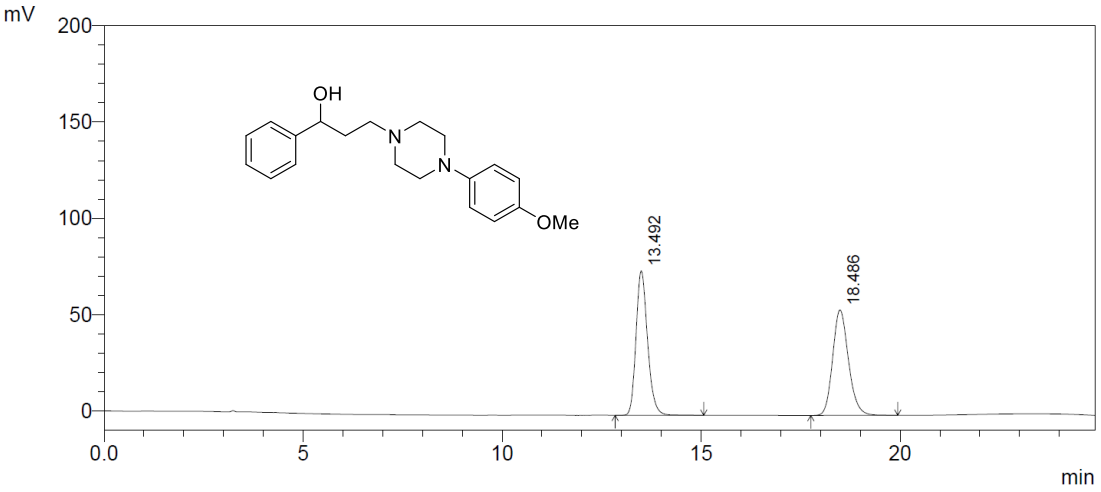
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.829	4859979	50.008
2	11.102	4858362	49.992
Sum		9718341	100.000



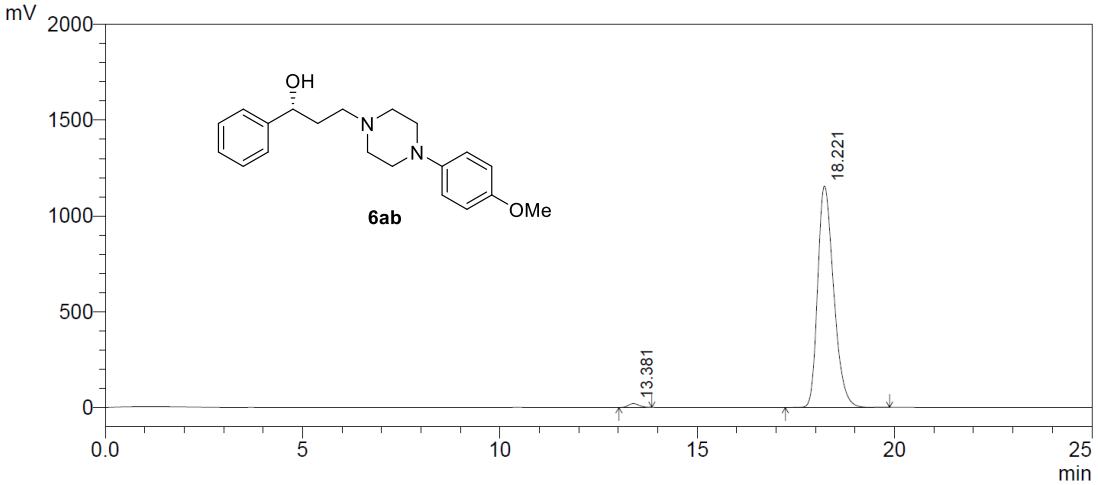
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	8.890	212928	0.938
2	11.112	22495225	99.062
Sum		22708152	100.000



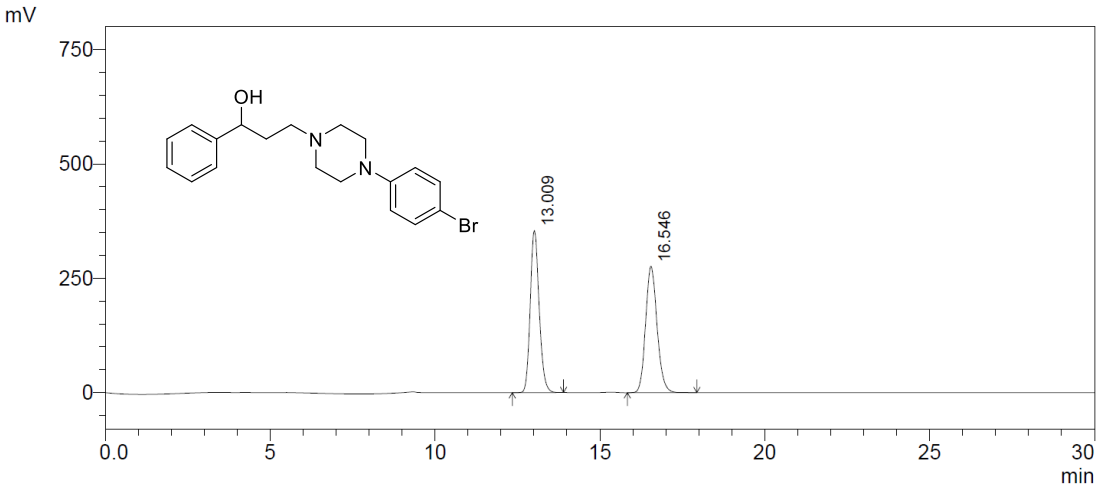
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	13.492	1513285	50.014
2	18.486	1512423	49.986
Sum		3025708	100.000



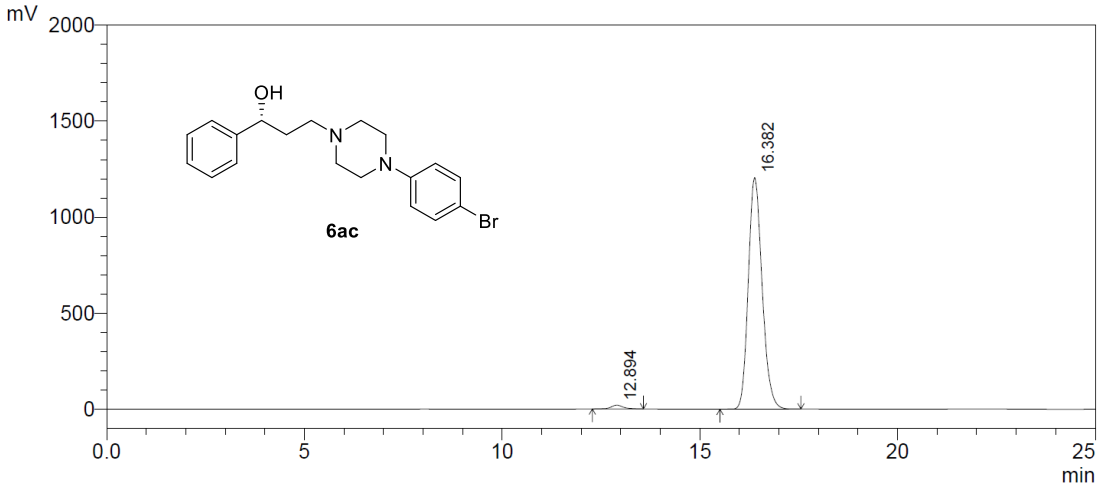
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	13.381	380248	1.154
2	18.221	32584382	98.846
Sum		32964630	100.000



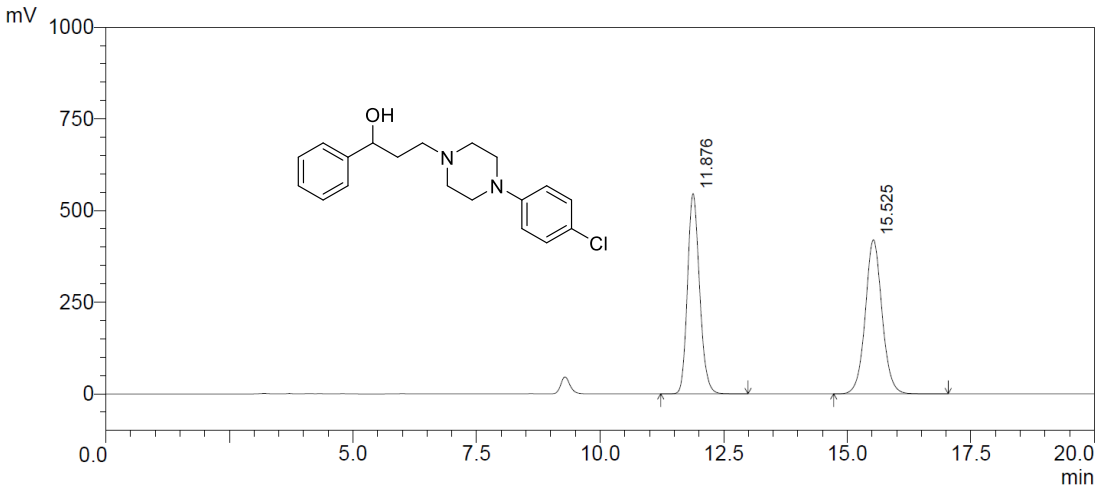
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	13.009	6679552	49.975
2	16.546	6686339	50.025
Sum		13365891	100.000



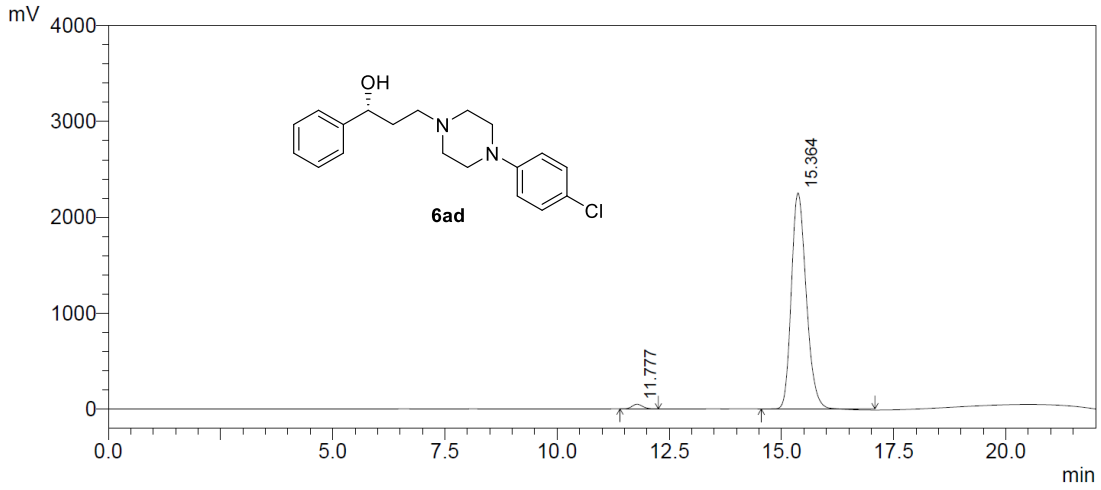
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	12.894	410212	1.377
2	16.382	29371984	98.623
Sum		29782195	100.000



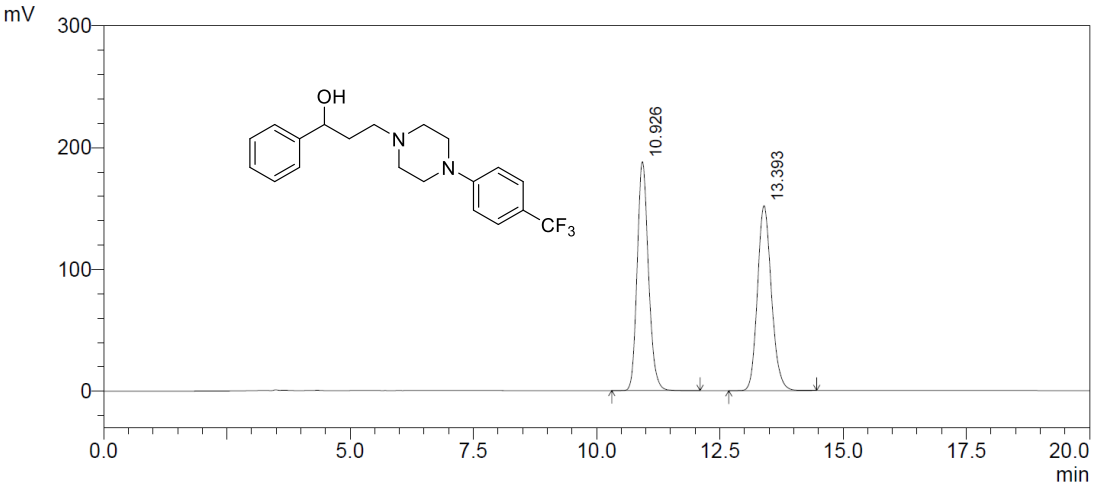
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	11.876	9275131	48.349
2	15.525	9908519	51.651
Sum		19183650	100.000



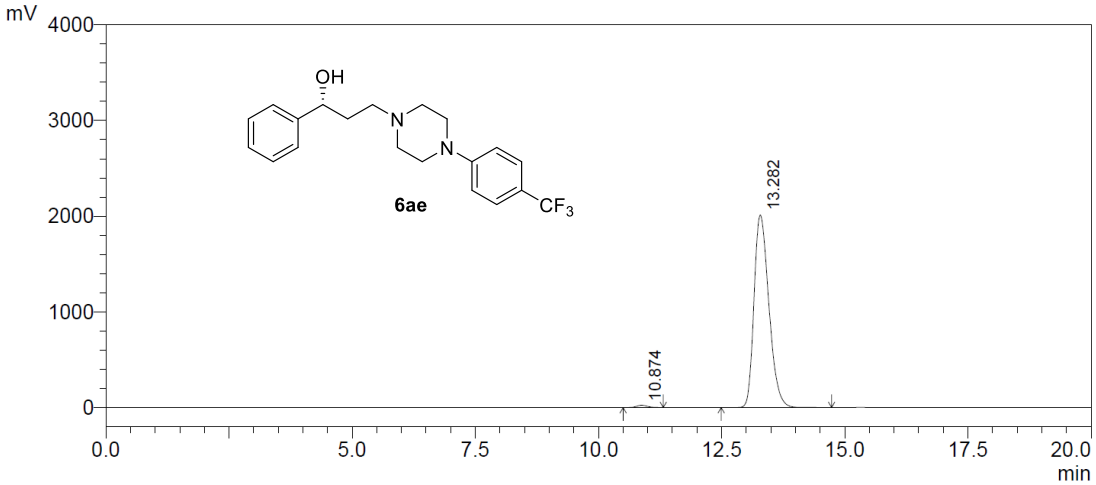
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	11.777	792377	1.501
2	15.364	51983183	98.499
Sum		52775560	100.000



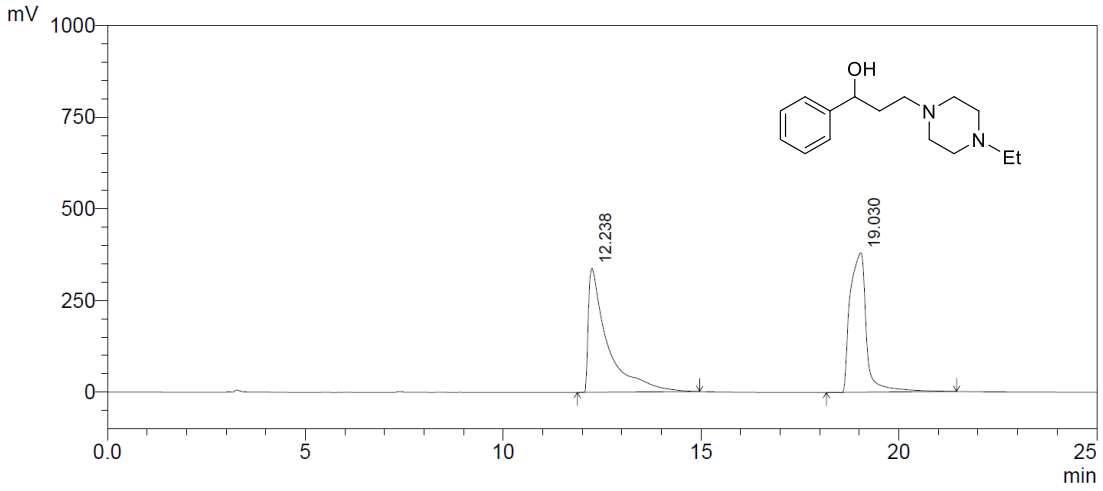
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.926	2980942	49.928
2	13.393	2989534	50.072
Sum		5970476	100.000



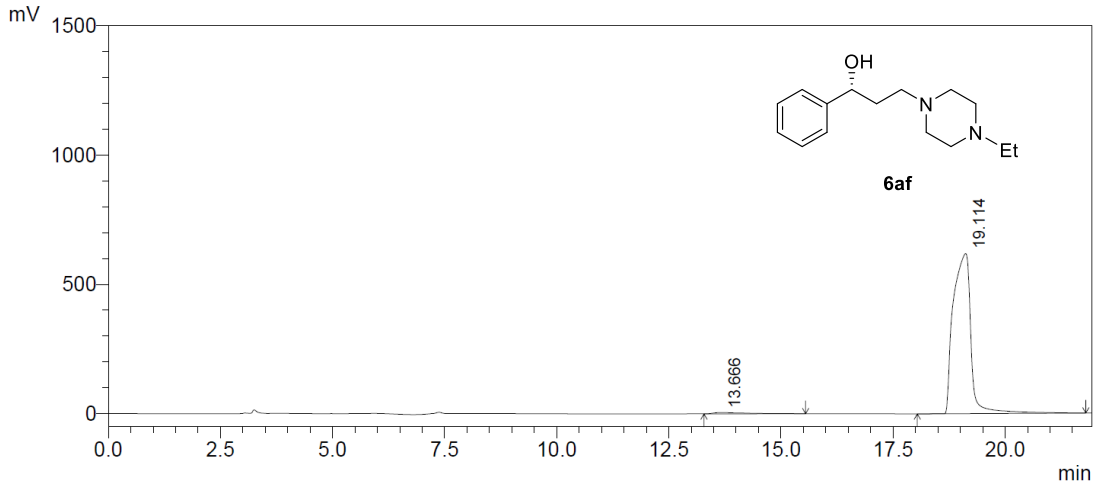
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	10.874	365275	0.859
2	13.282	42181810	99.141
Sum		42547085	100.000



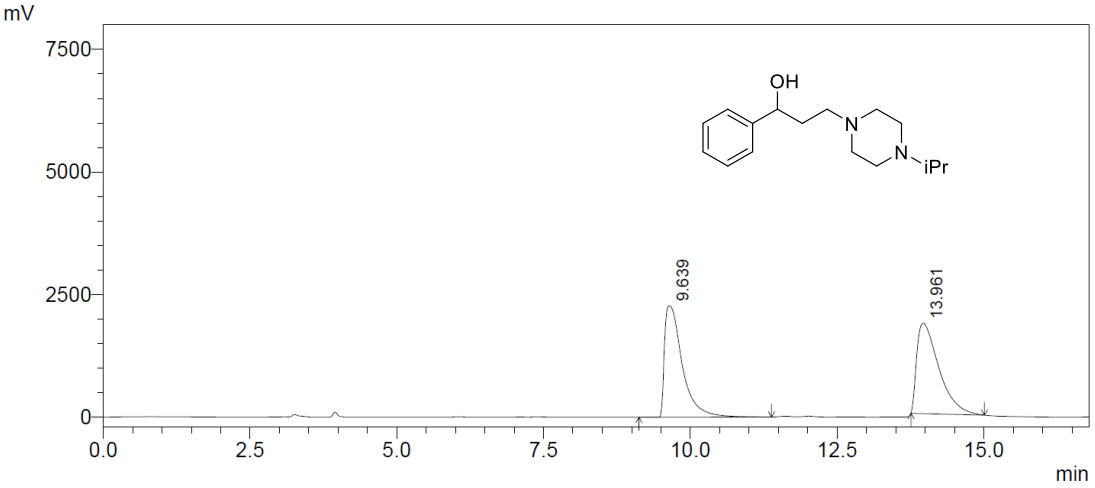
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	12.238	11480457	51.220
2	19.030	10933736	48.780
Sum		22414193	100.000



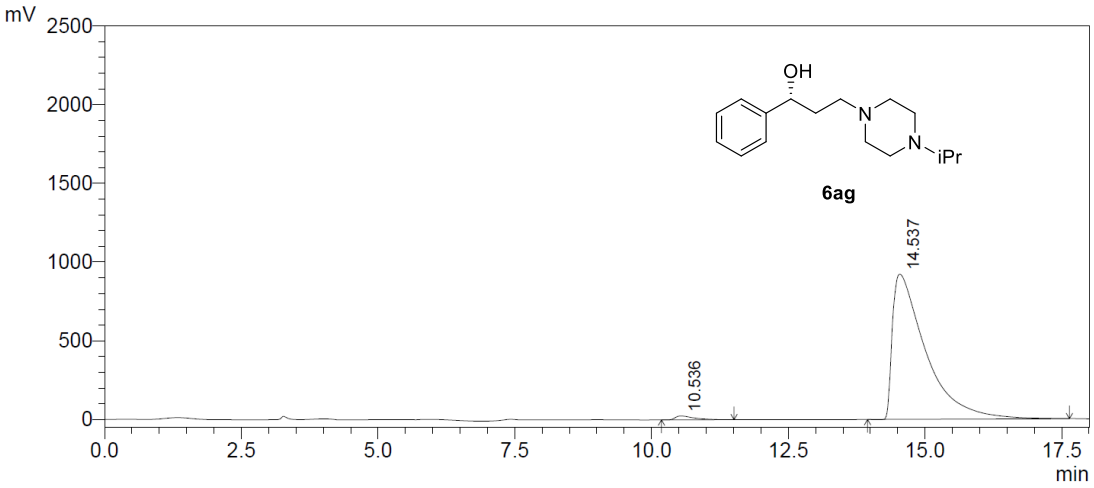
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	13.666	238208	1.383
2	19.114	16980859	98.617
Sum		17219067	100.000



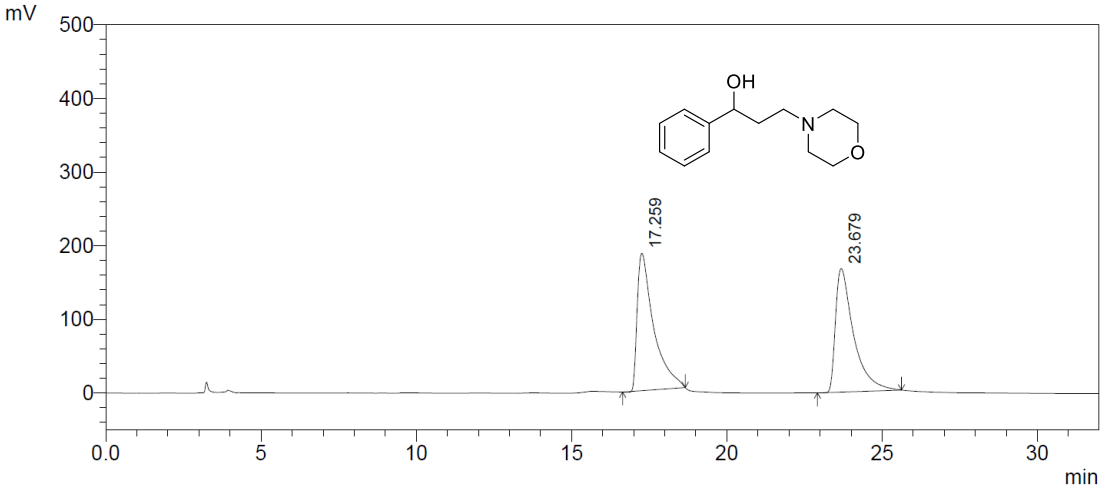
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	9.639	47848364	49.777
2	13.961	48276737	50.223
Sum		96125101	100.000



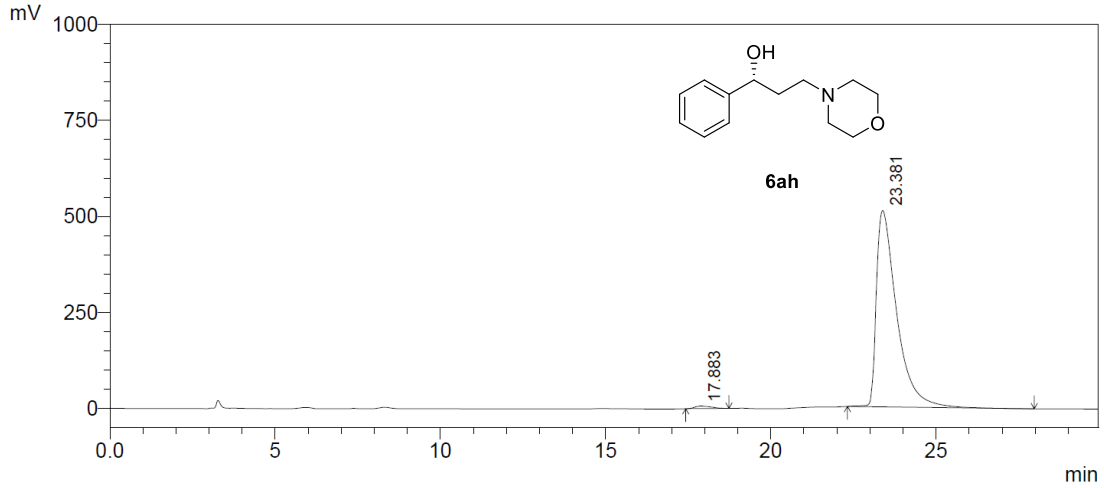
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	10.536	581056	1.460
2	14.537	39206191	98.540
Sum		39787248	100.000



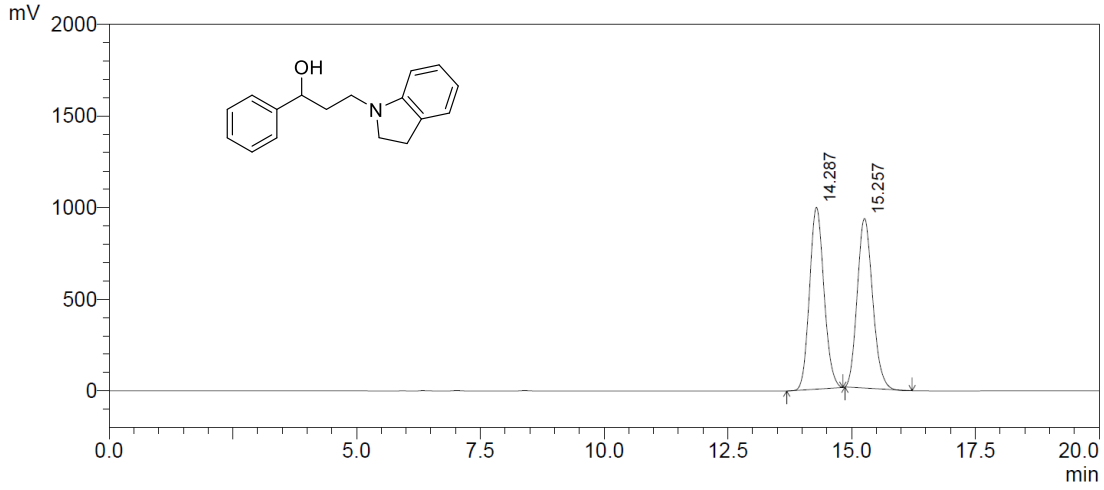
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	17.259	6876802	50.327
2	23.679	6787533	49.673
Sum		13664335	100.000



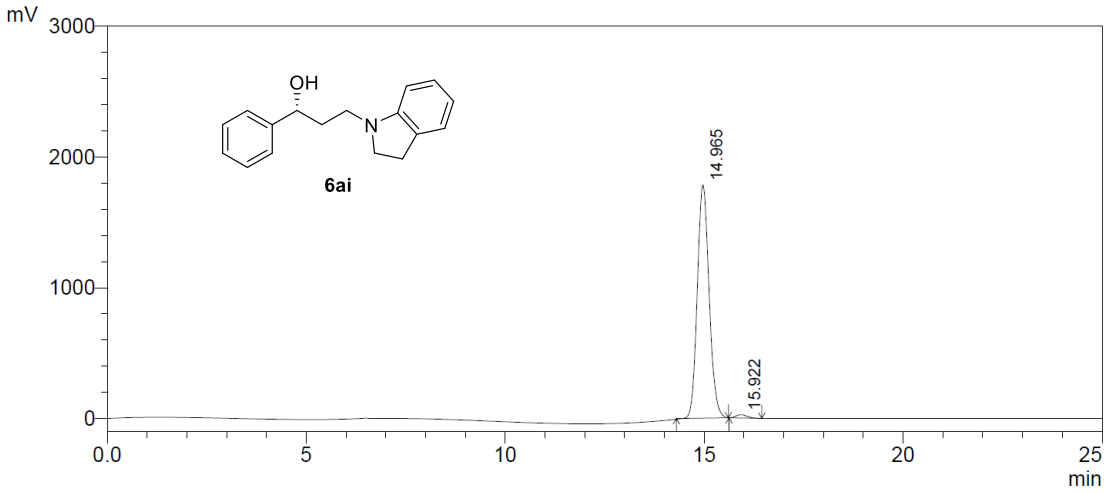
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	17.883	225334	1.022
2	23.381	21819638	98.978
Sum		22044972	100.000



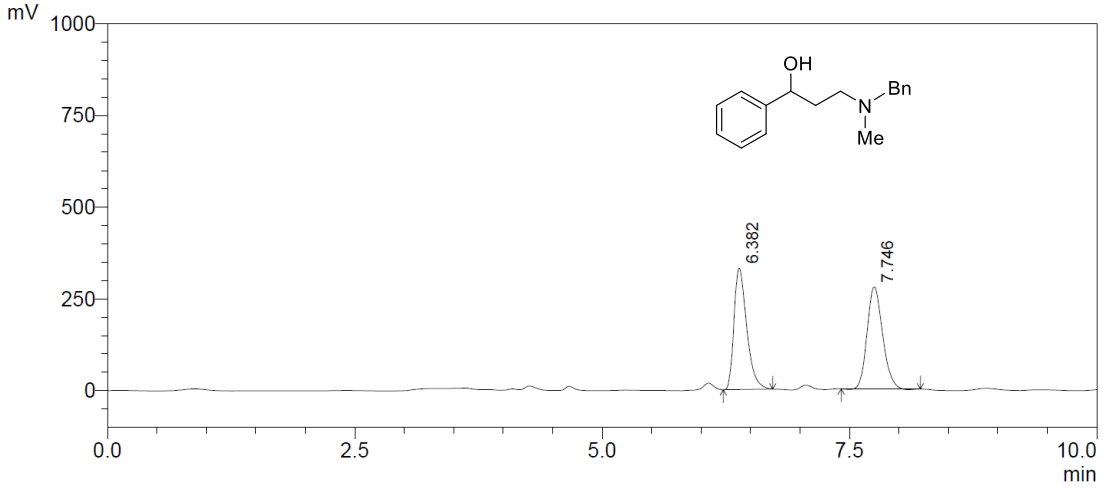
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.287	20214675	50.287
2	15.257	19983935	49.713
Sum		40198610	100.000



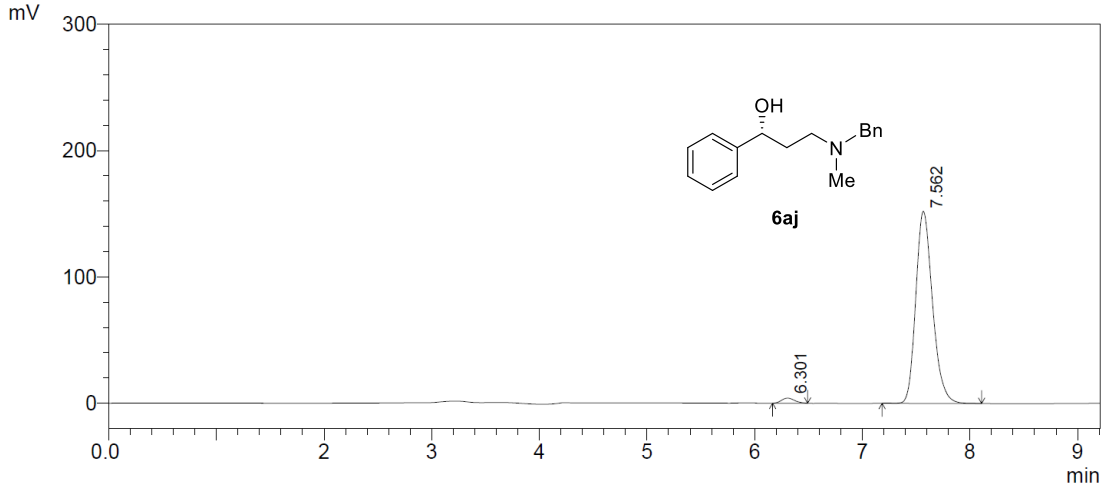
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	14.965	36724763	98.689
2	15.922	487844	1.311
Sum		37212608	100.000



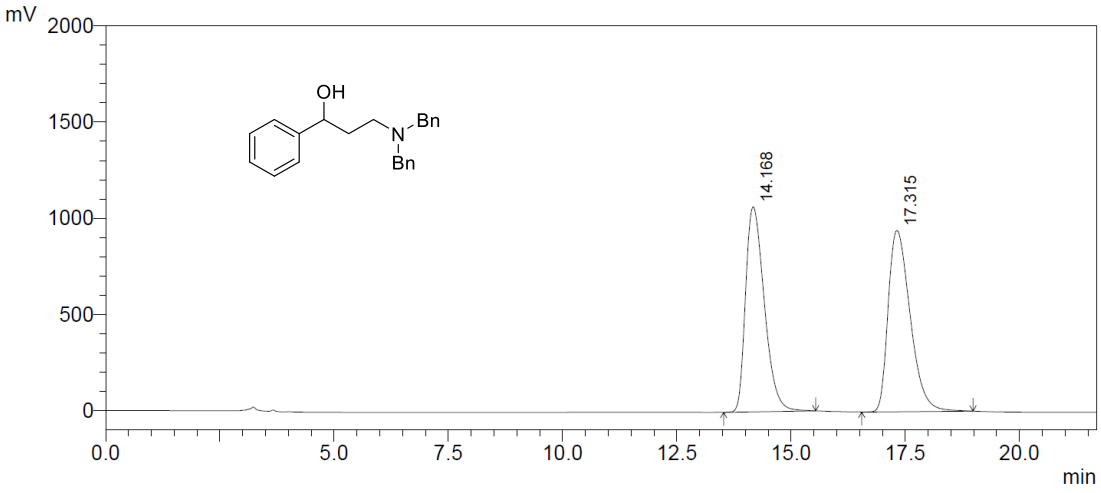
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.382	2969001	49.680
2	7.746	3007291	50.320
Sum		5976292	100.000



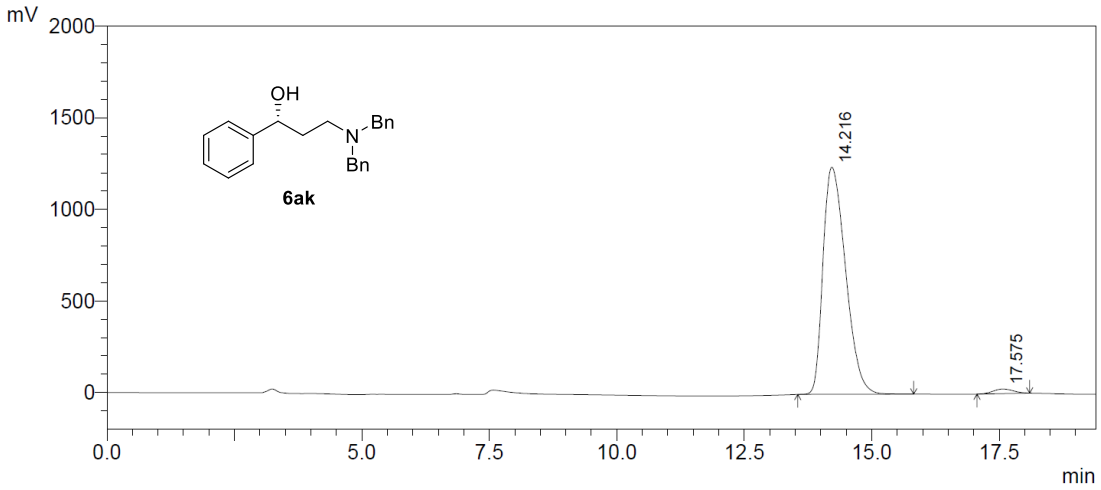
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	6.301	34018	2.027
2	7.562	1644054	97.973
Sum		1678072	100.000



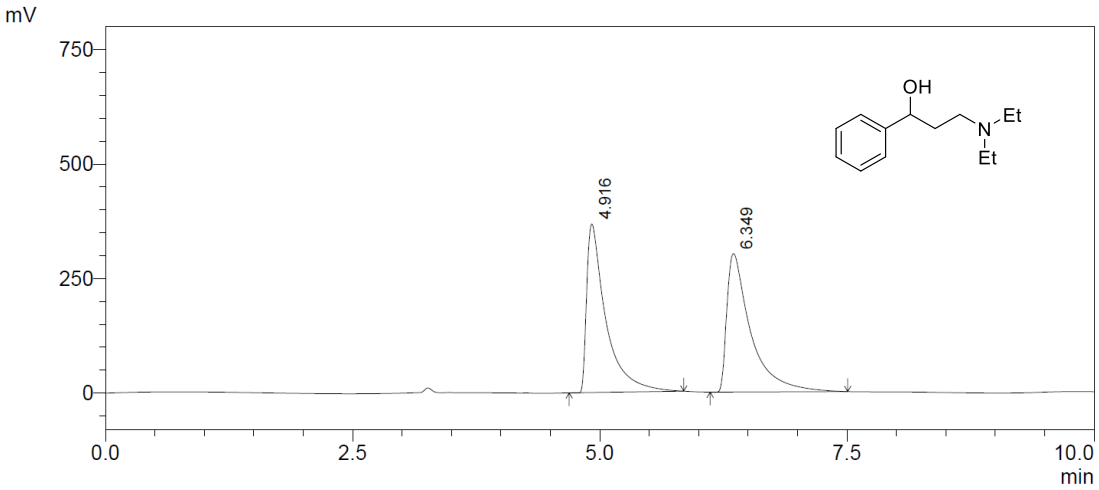
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	14.168	30492588	49.065
2	17.315	31655174	50.935
Sum		62147762	100.000



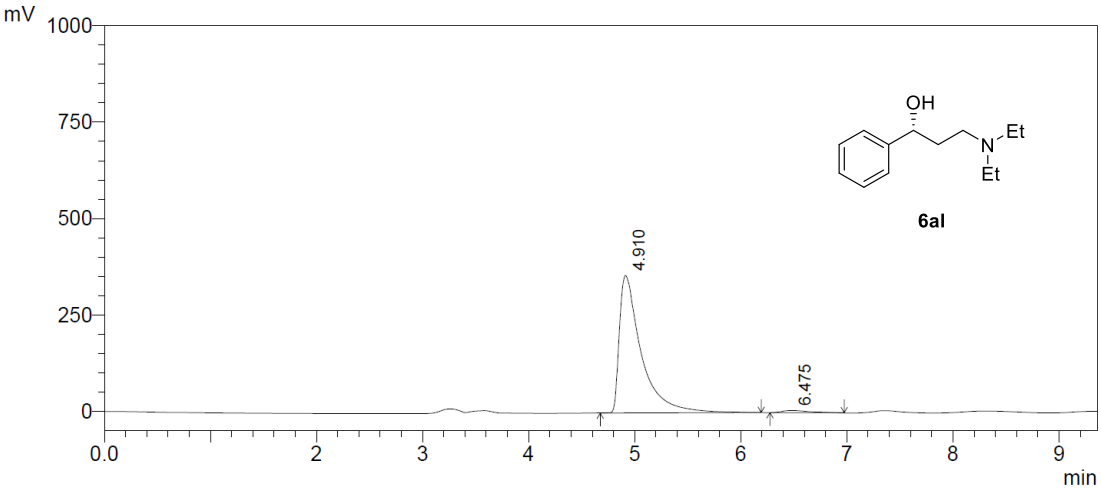
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	14.216	38192351	98.211
2	17.575	695800	1.789
Sum		38888150	100.000



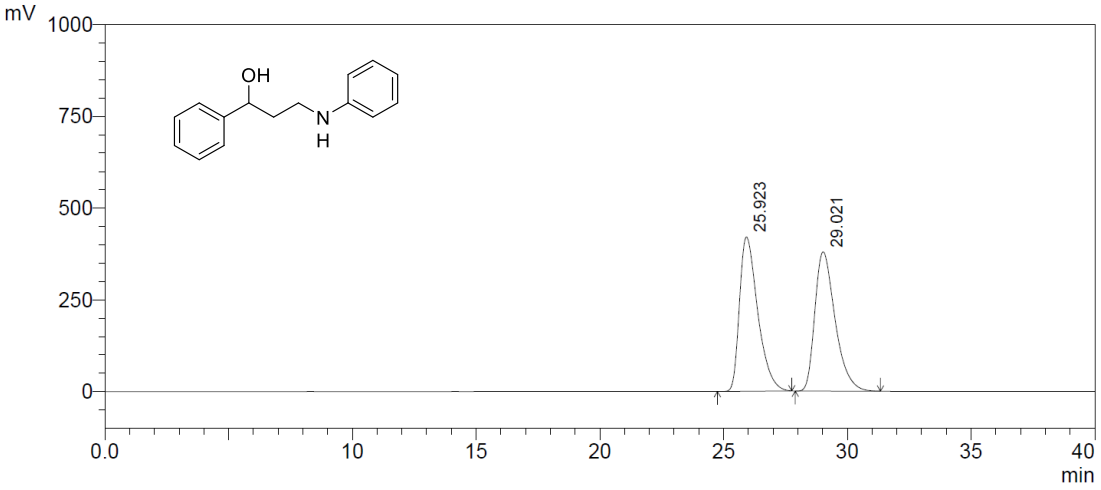
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	4.916	4971872	49.424
2	6.349	5087837	50.576
Sum		10059708	100.000



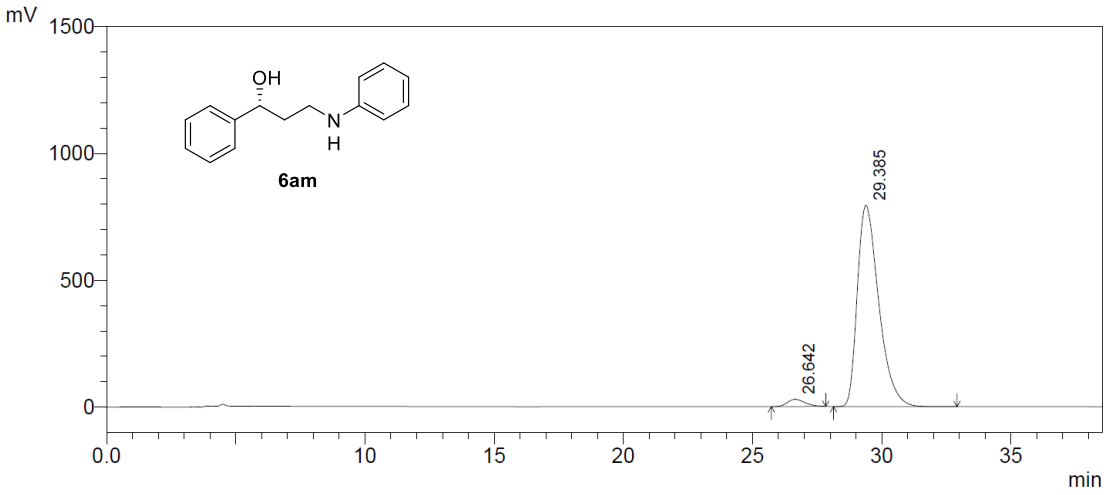
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	4.910	5114651	98.199
2	6.475	93784	1.801
Sum		5208435	100.000



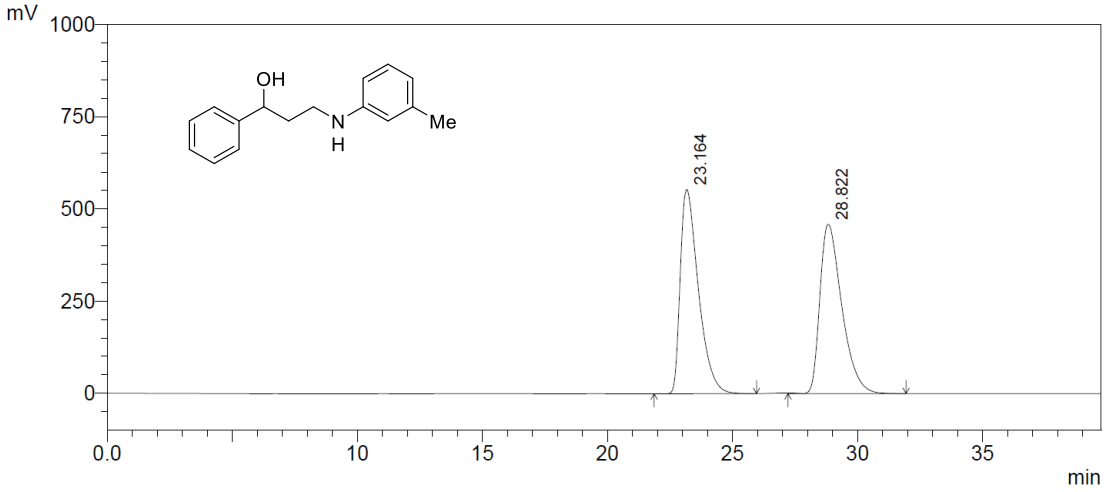
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	25.923	21570626	49.923
2	29.021	21637069	50.077
Sum		43207695	100.000



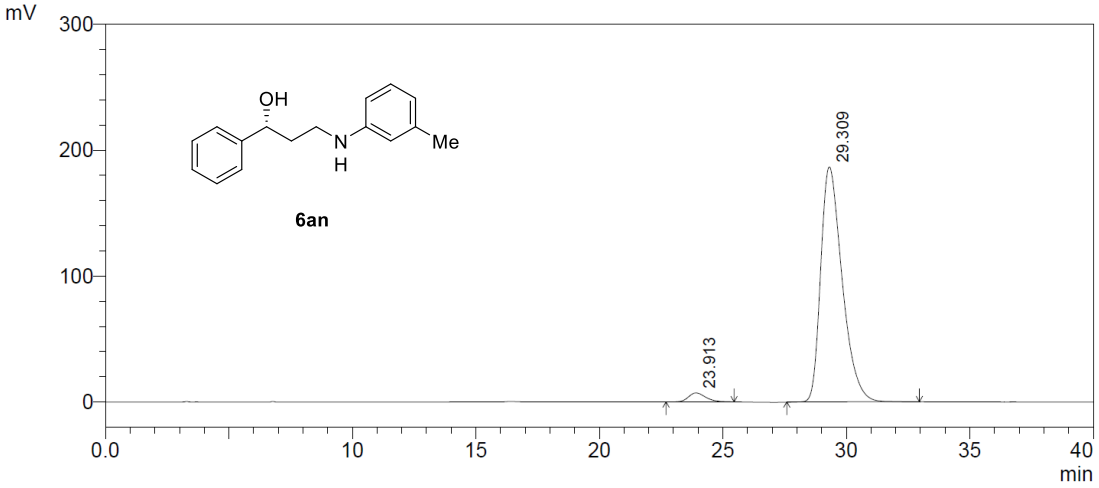
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	26.642	1343214	2.855
2	29.385	45711518	97.145
Sum		47054732	100.000



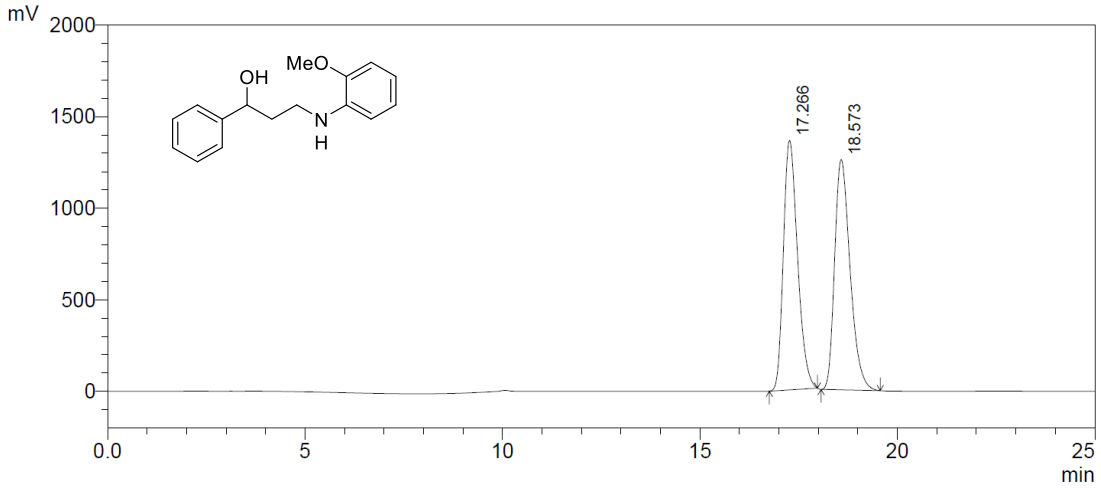
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	23.164	27909778	49.957
2	28.822	27957551	50.043
Sum		55867329	100.000



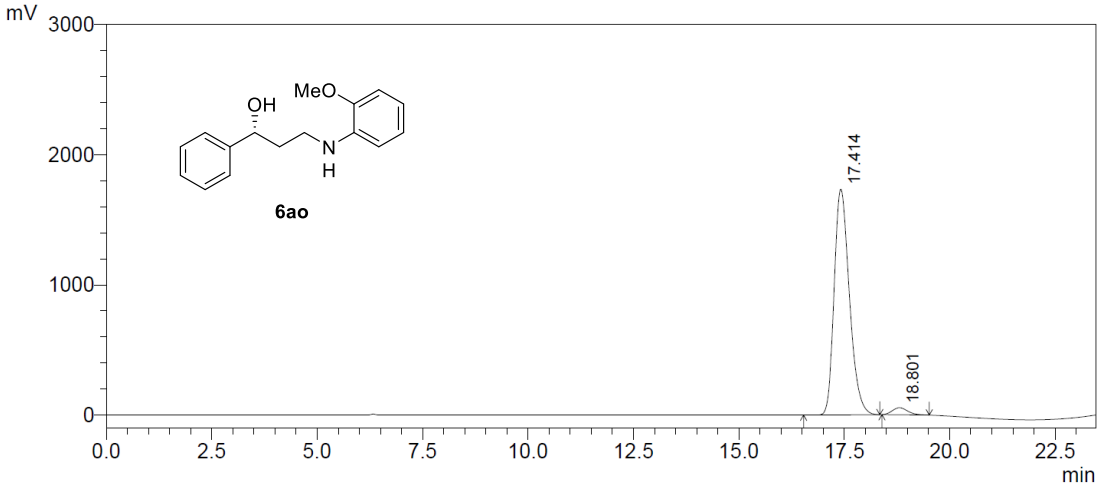
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	23.913	340839	2.938
2	29.309	11261889	97.062
Sum		11602728	100.000



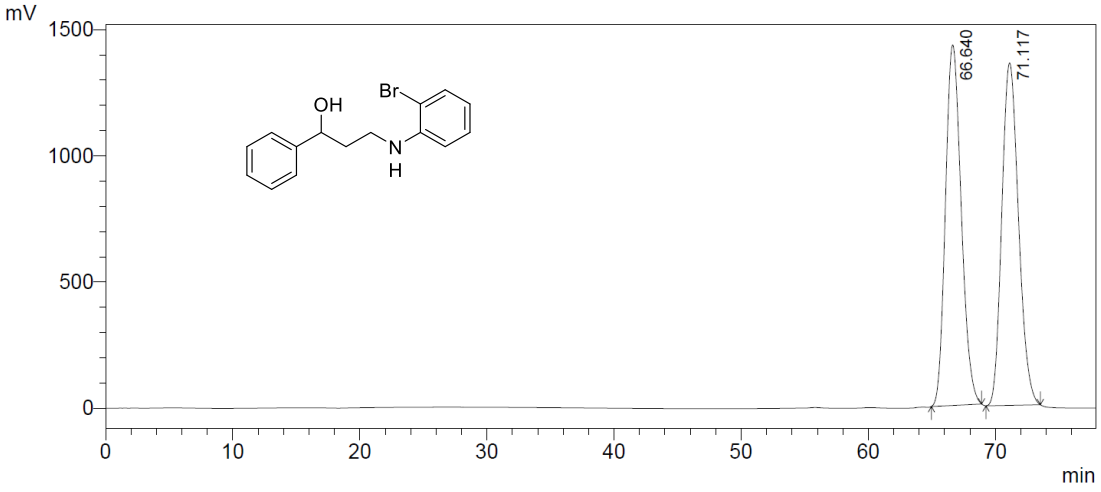
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	17.266	33938396	49.762
2	18.573	34263661	50.238
Sum		68202057	100.000



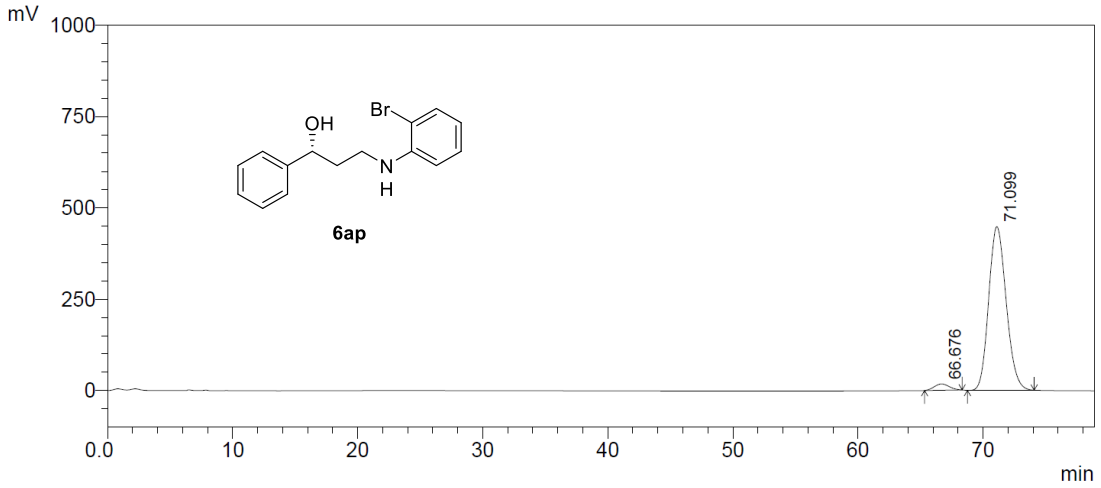
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	17.414	43579591	96.949
2	18.801	1371558	3.051
Sum		44951150	100.000



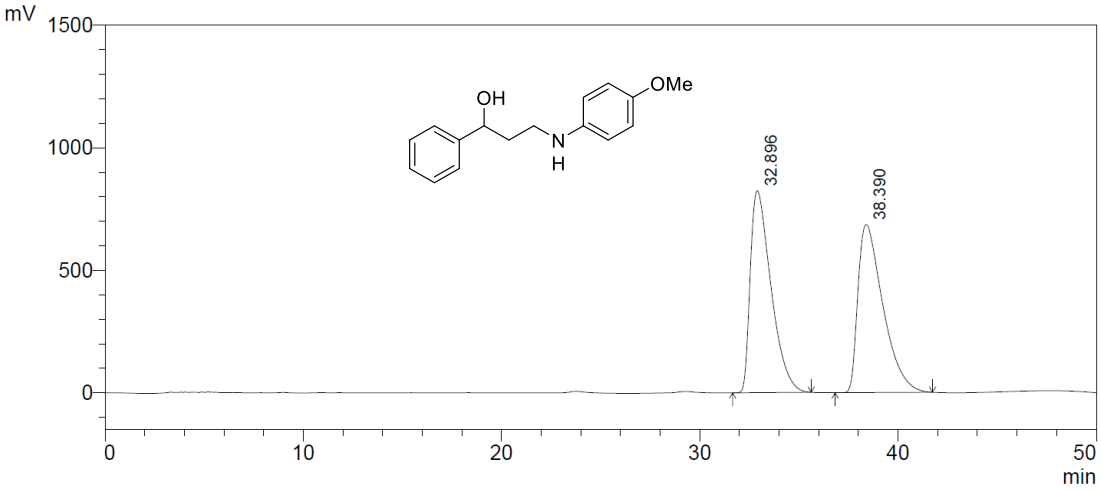
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	66.640	123356724	49.859
2	71.117	124054453	50.141
Sum		247411177	100.000



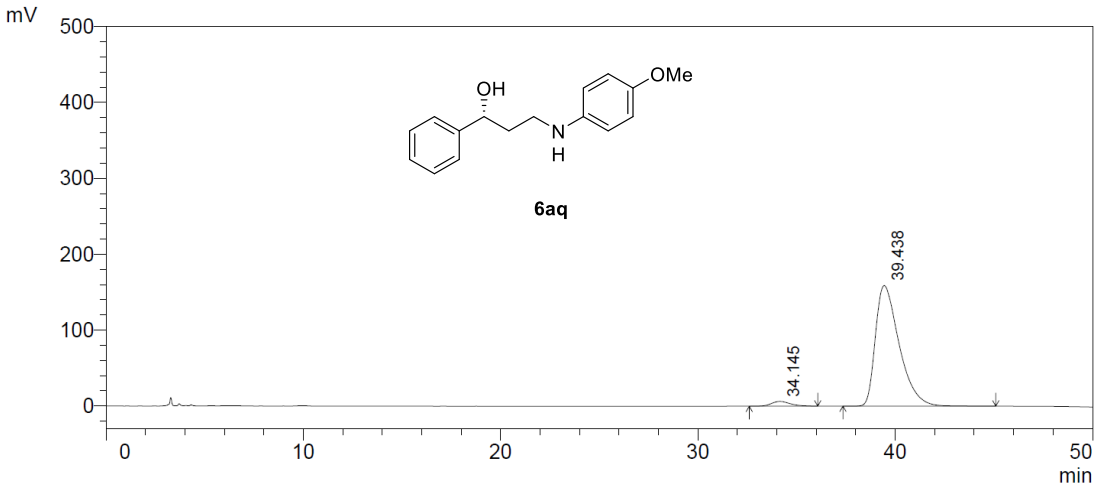
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	66.676	1440599	3.195
2	71.099	43645873	96.805
Sum		45086473	100.000



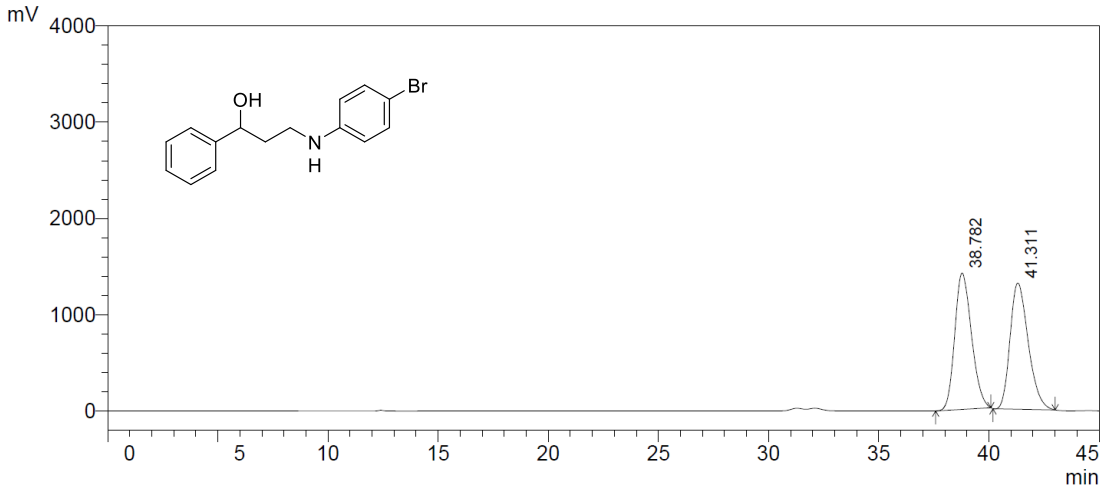
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	32.896	59891555	49.904
2	38.390	60121755	50.096
Sum		120013310	100.000



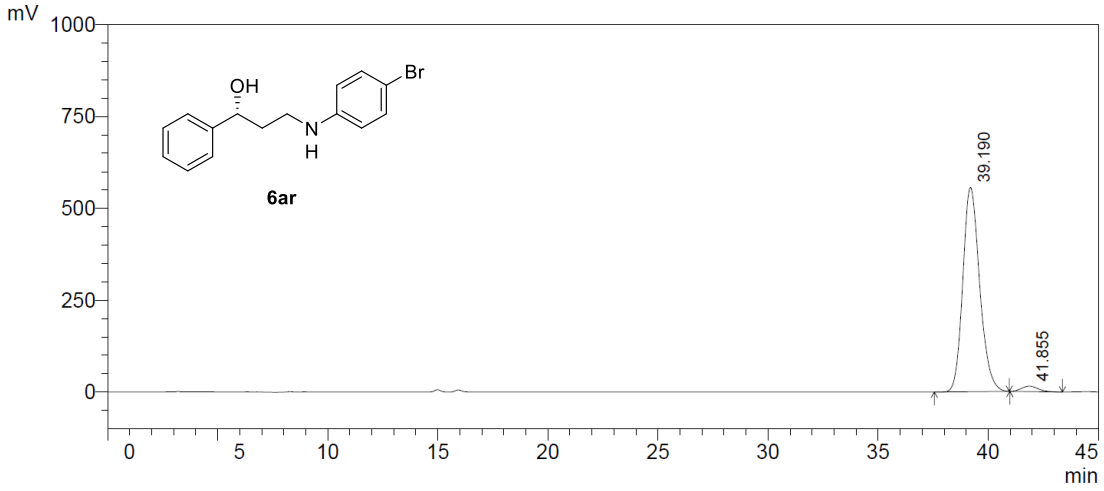
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	34.145	412800	3.013
2	39.438	13288615	96.987
Sum		13701415	100.000



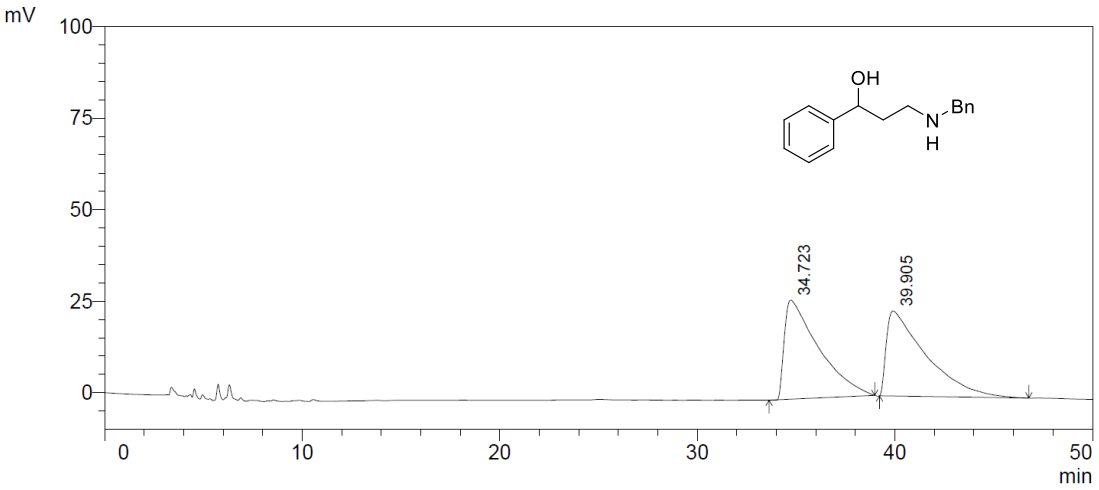
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	38.782	75042173	49.943
2	41.311	75212433	50.057
Sum		150254605	100.000



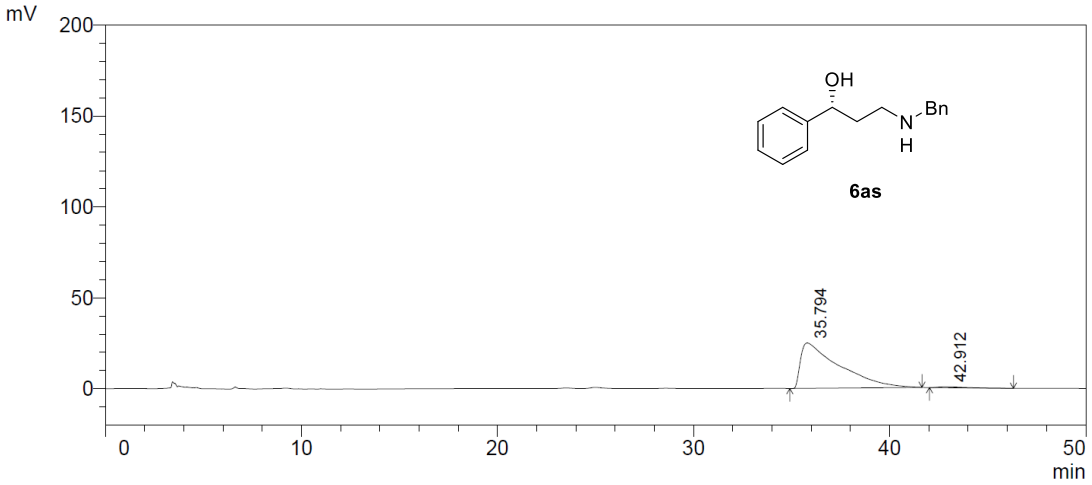
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	39.190	29645715	97.426
2	41.855	783101	2.574
Sum		30428816	100.000



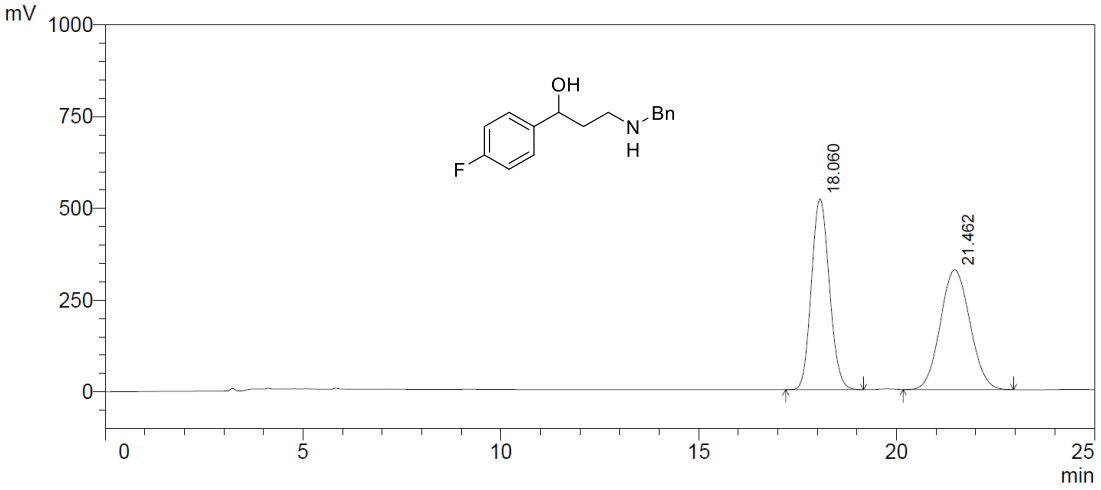
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	34.723	3255306	50.239
2	39.905	3224372	49.761
Sum		6479677	100.000



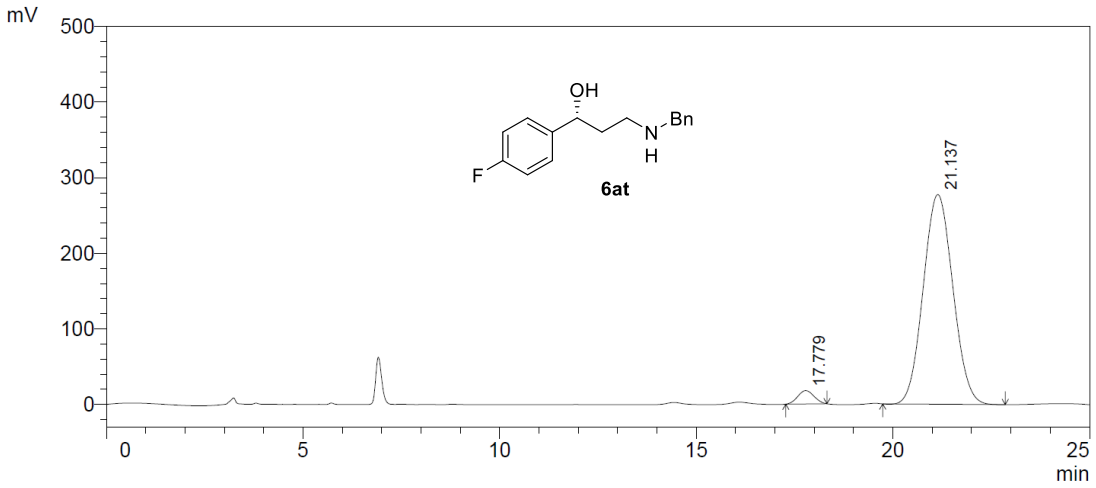
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	35.794	3415345	98.615
2	42.912	47980	1.385
Sum		3463325	100.000



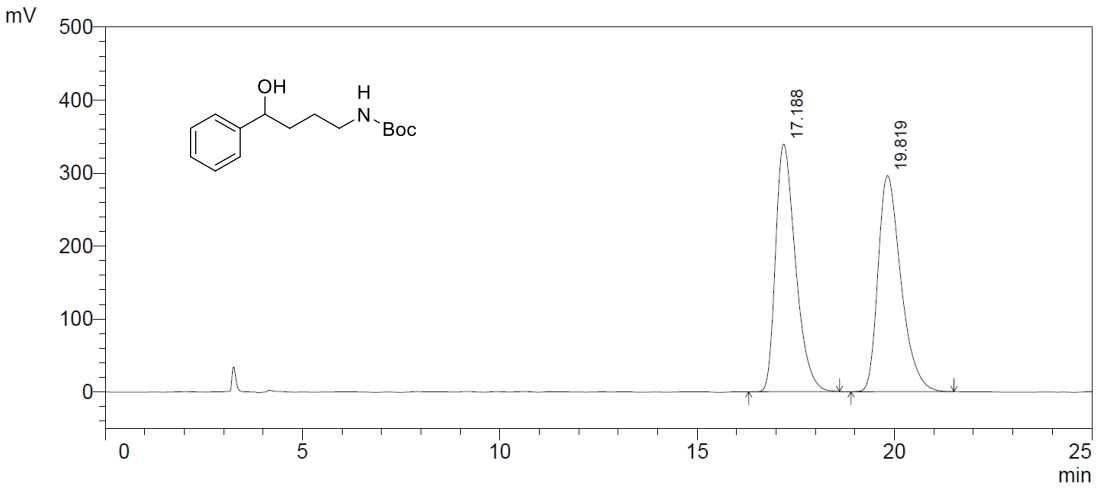
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	18.060	16443953	49.139
2	21.462	17019902	50.861
Sum		33463855	100.000



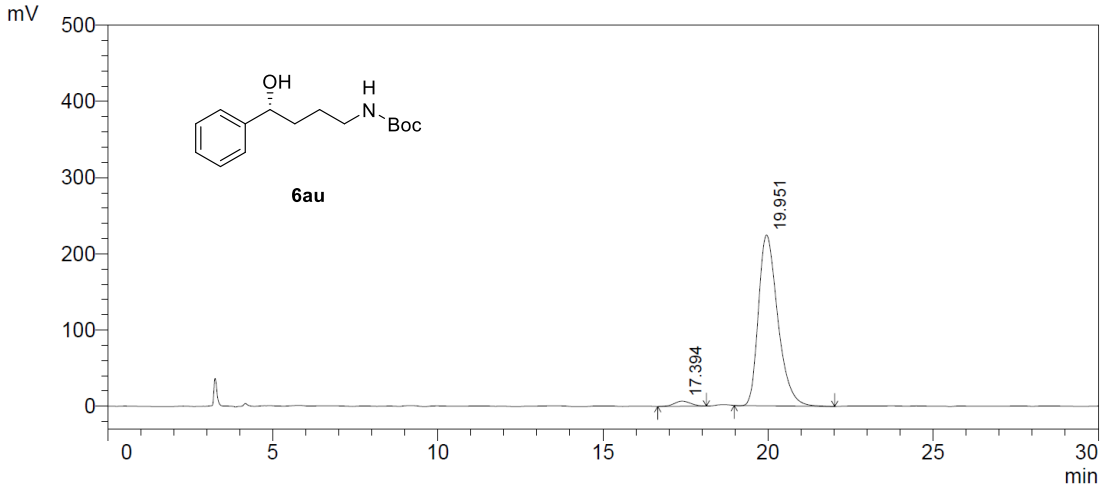
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	17.779	509698	3.386
2	21.137	14541291	96.614
Sum		15050989	100.000



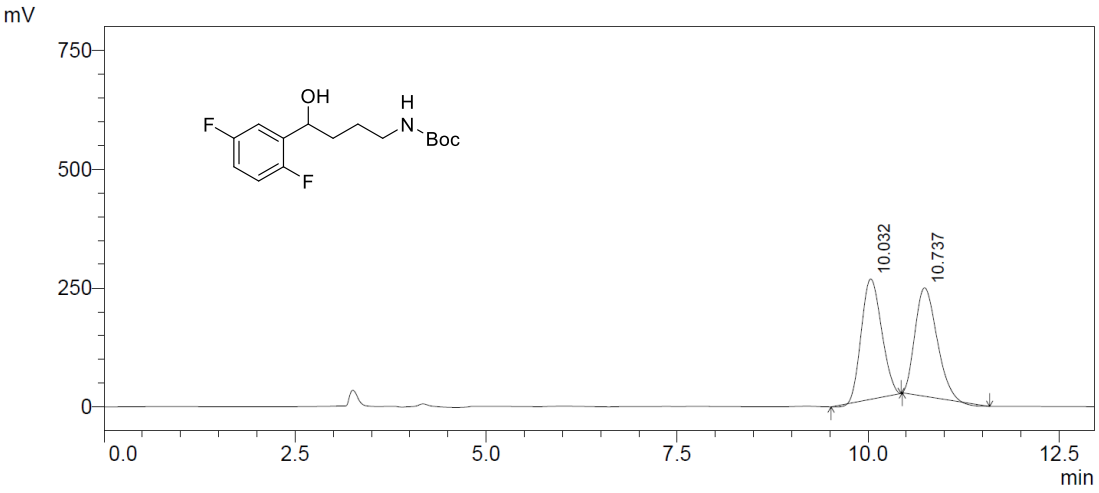
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	17.188	11944464	49.960
2	19.819	11963720	50.040
Sum		23908184	100.000



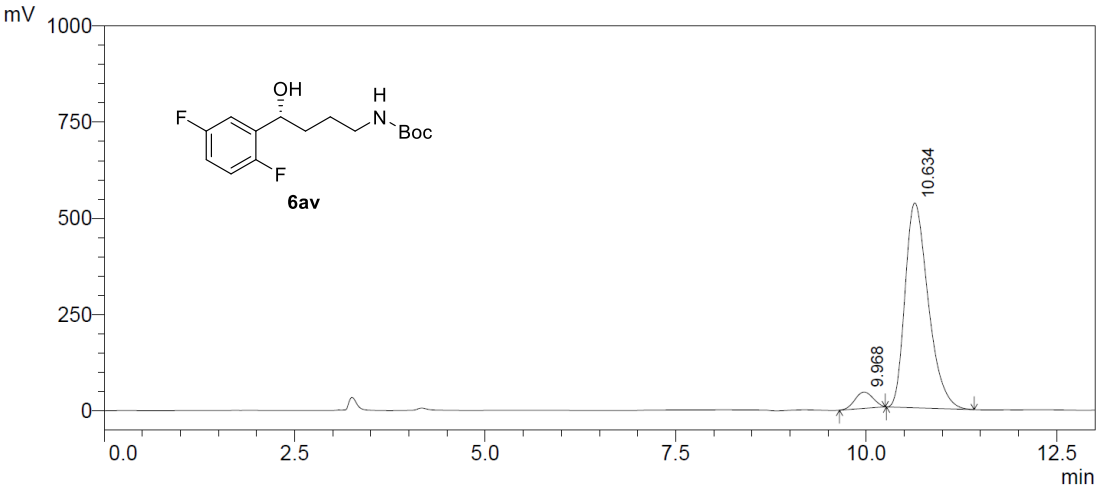
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	17.394	228772	2.444
2	19.951	9131721	97.556
Sum		9360493	100.000



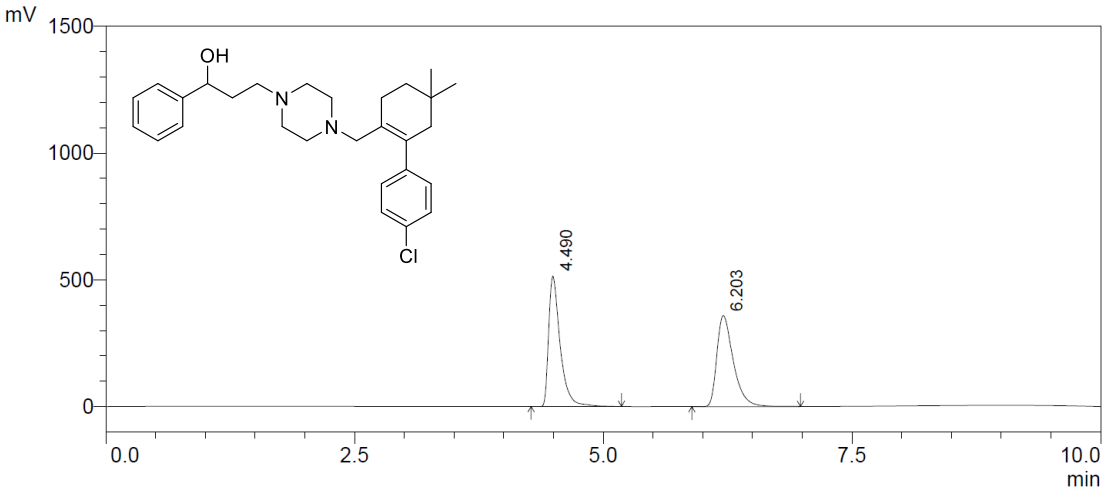
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	10.032	4656786	50.765
2	10.737	4516396	49.235
Sum		9173182	100.000



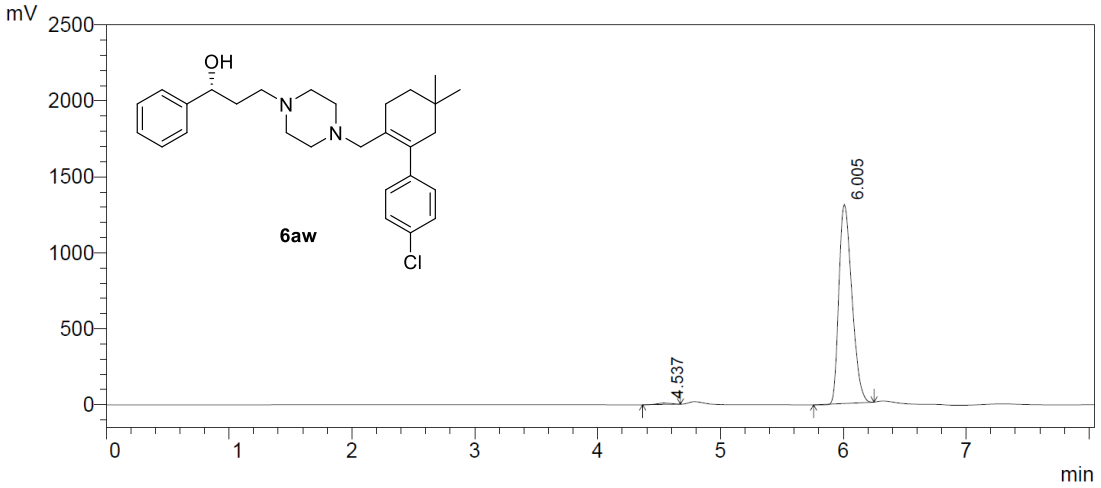
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	9.968	702202	5.865
2	10.634	11270395	94.135
Sum		11972597	100.000



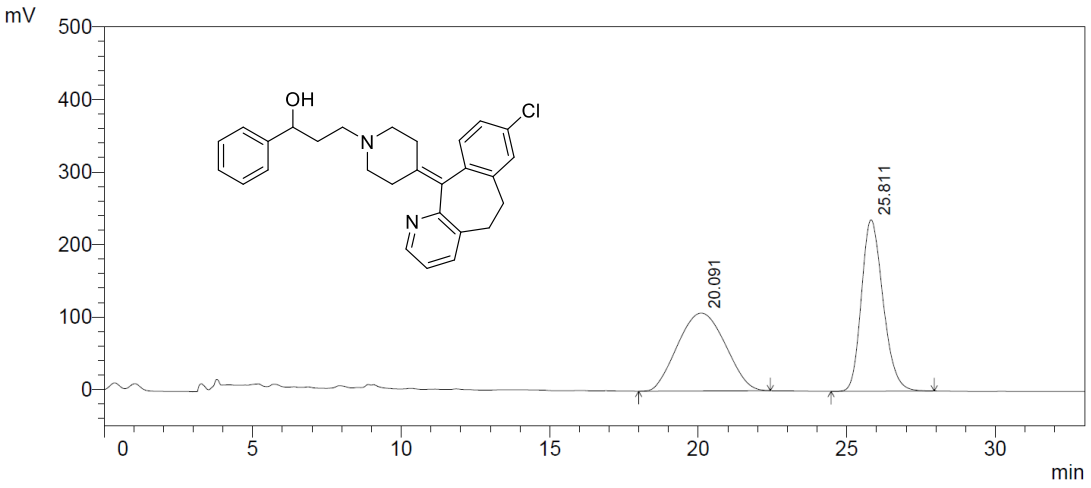
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	4.490	4129239	49.959
2	6.203	4135935	50.041
Sum		8265175	100.000



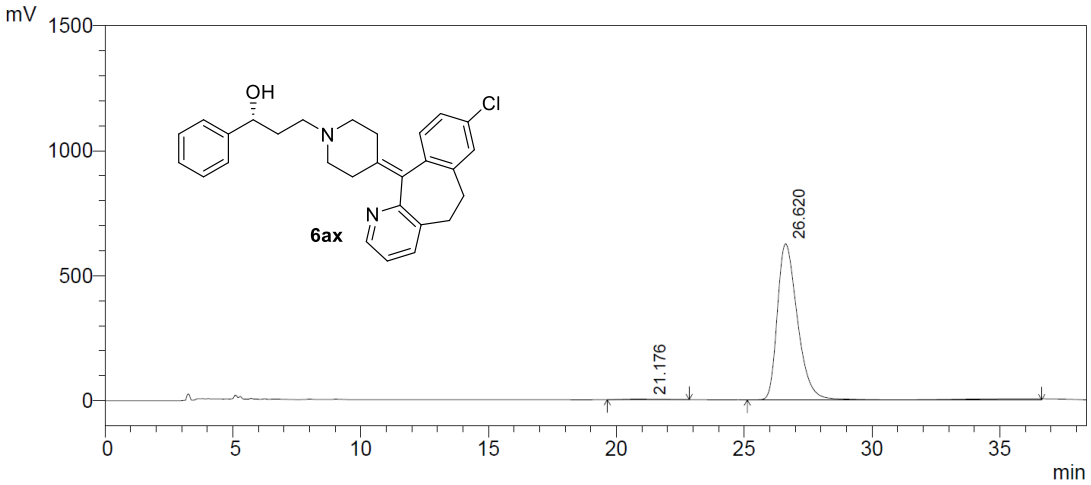
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	4.537	71806	0.740
2	6.005	9632539	99.260
Sum		9704345	100.000



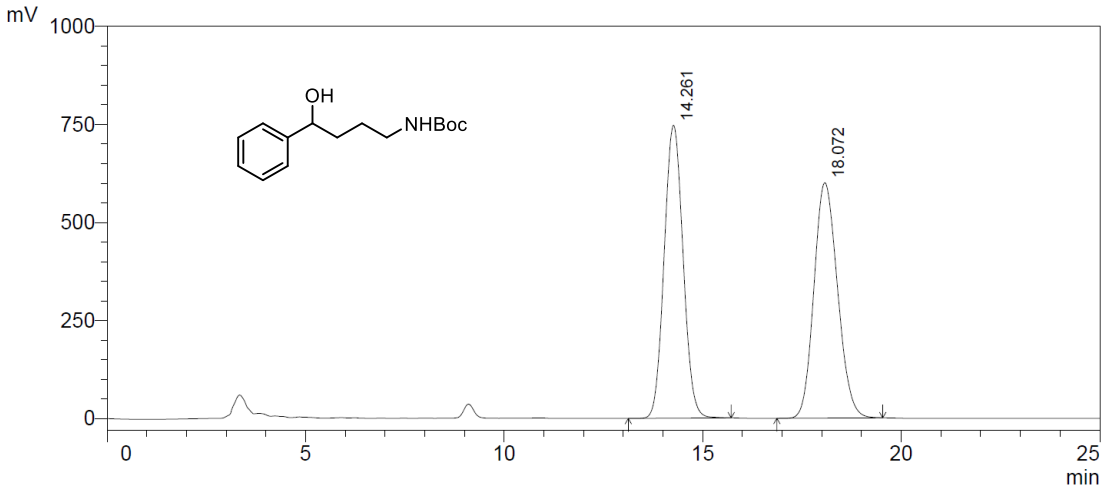
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	20.091	12006099	50.041
2	25.811	11986555	49.959
Sum		23992654	100.000



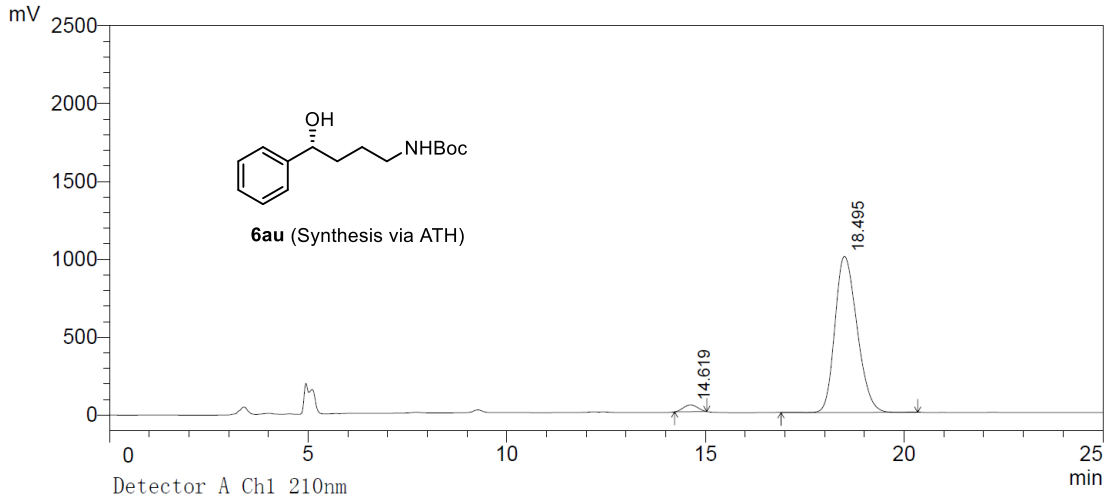
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	21.176	220051	0.640
2	26.620	34145860	99.360
Sum		34365911	100.000



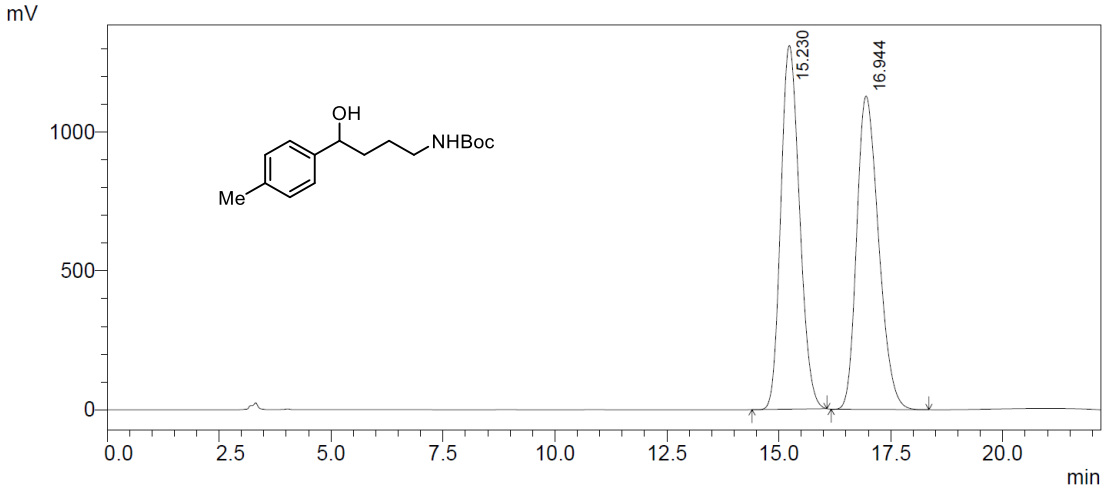
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.261	24726639	49.924
2	18.072	24801698	50.076
Sum		49528338	100.000



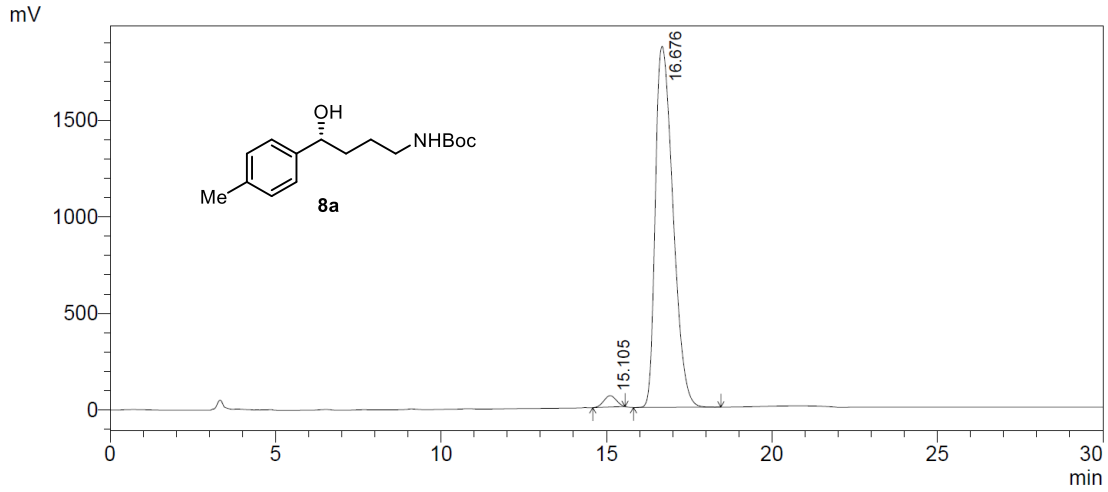
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.619	1090213	2.735
2	18.495	38767454	97.265
Sum		39857667	100.000



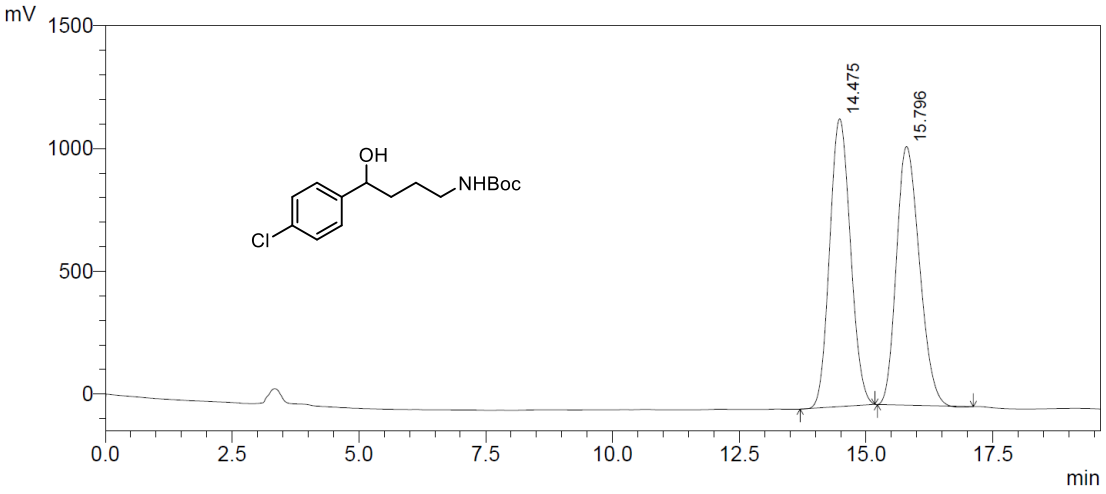
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	15.230	38108781	49.779
2	16.944	38447260	50.221
Sum		76556040	100.000



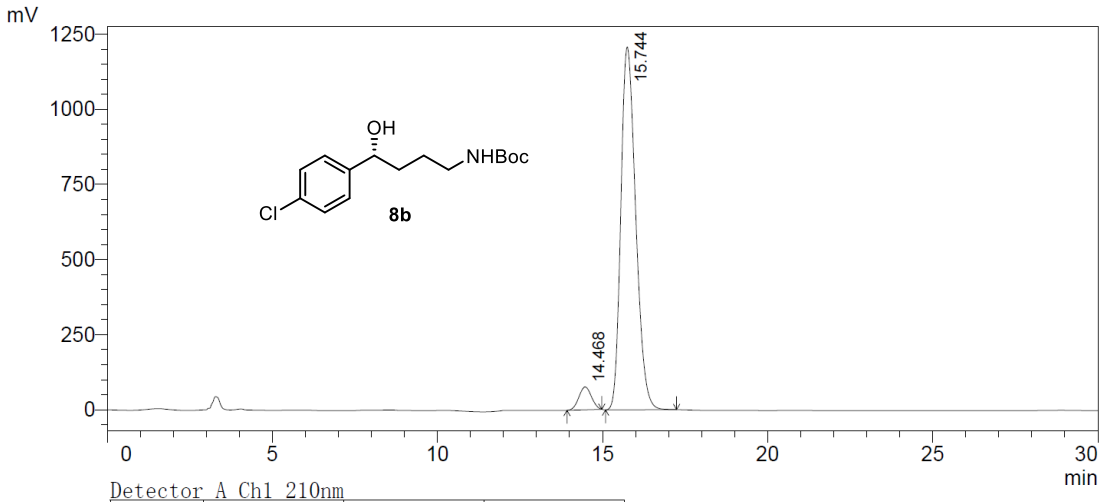
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	15.105	1550290	2.184
2	16.676	69438306	97.816
Sum		70988596	100.000



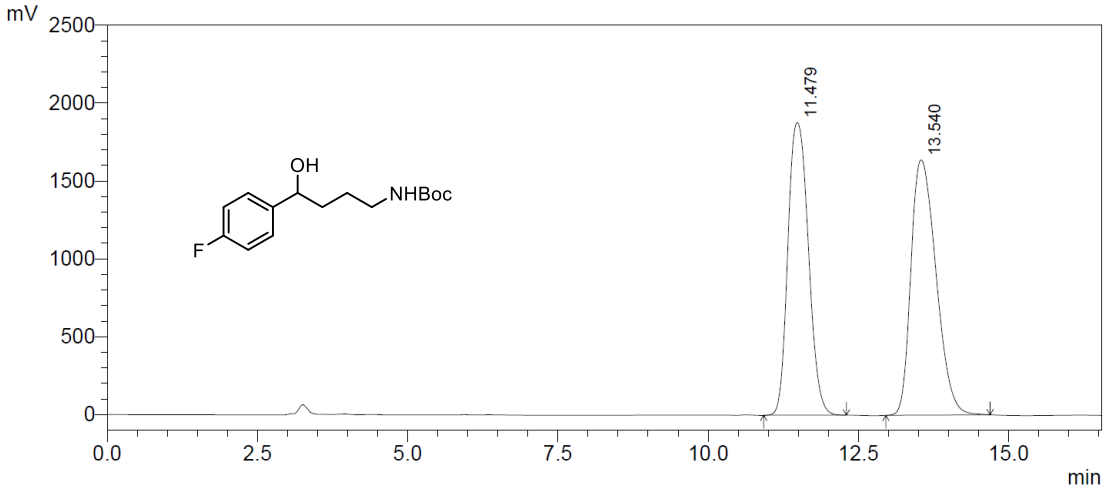
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.475	33518676	50.087
2	15.796	33402402	49.913
Sum		66921079	100.000



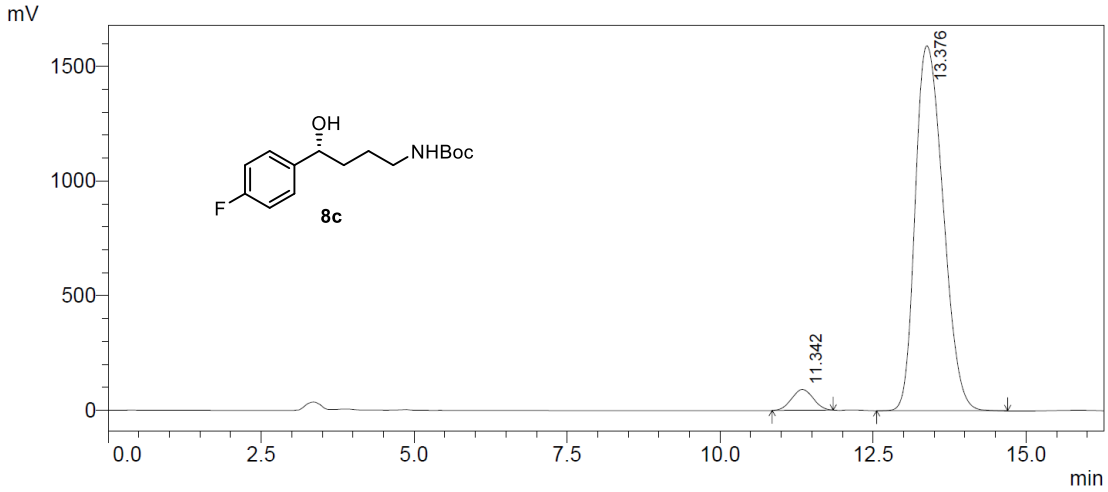
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.468	2010911	5.048
2	15.744	37826023	94.952
Sum		39836934	100.000



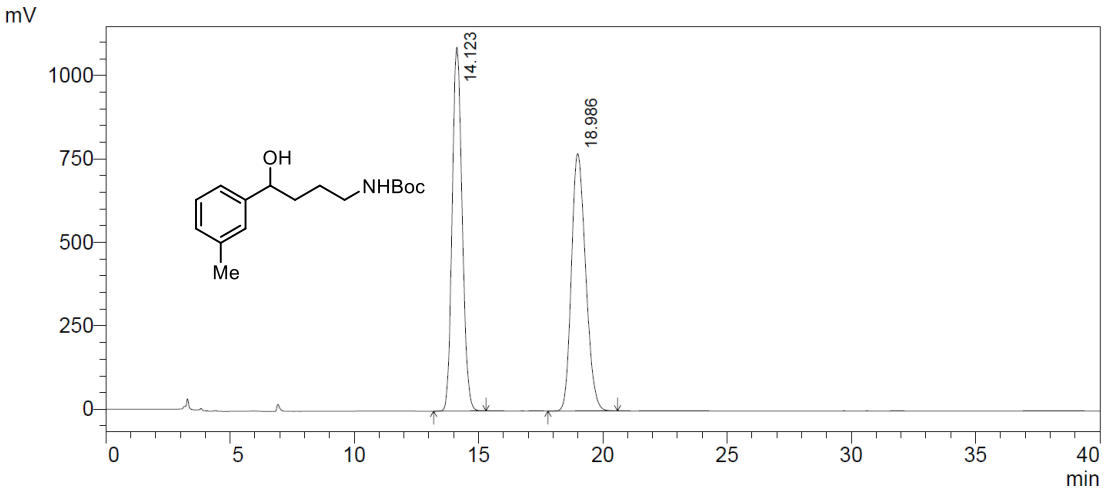
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	11.479	44599557	48.346
2	13.540	47651125	51.654
Sum		92250682	100.000



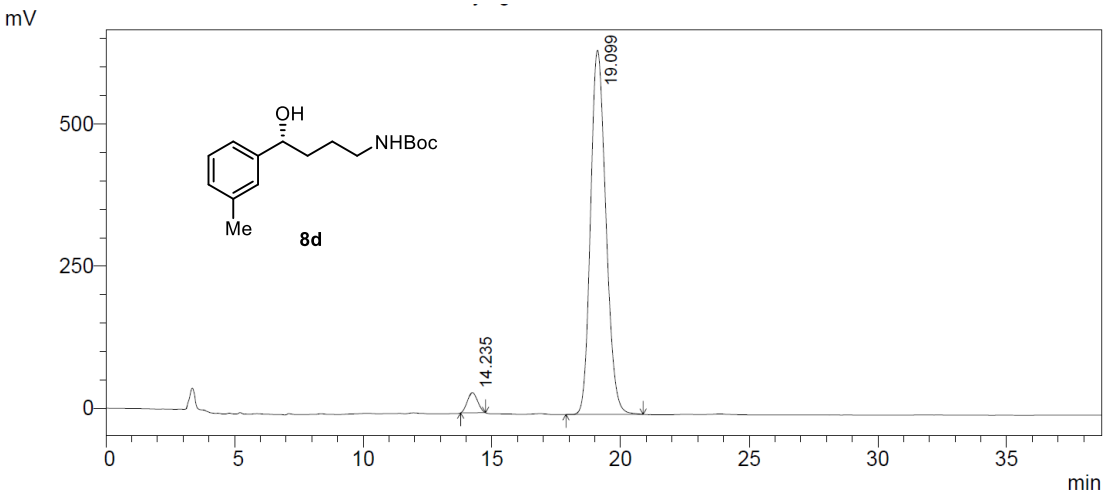
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	11.342	2227273	4.226
2	13.376	50477157	95.774
Sum		52704430	100.000



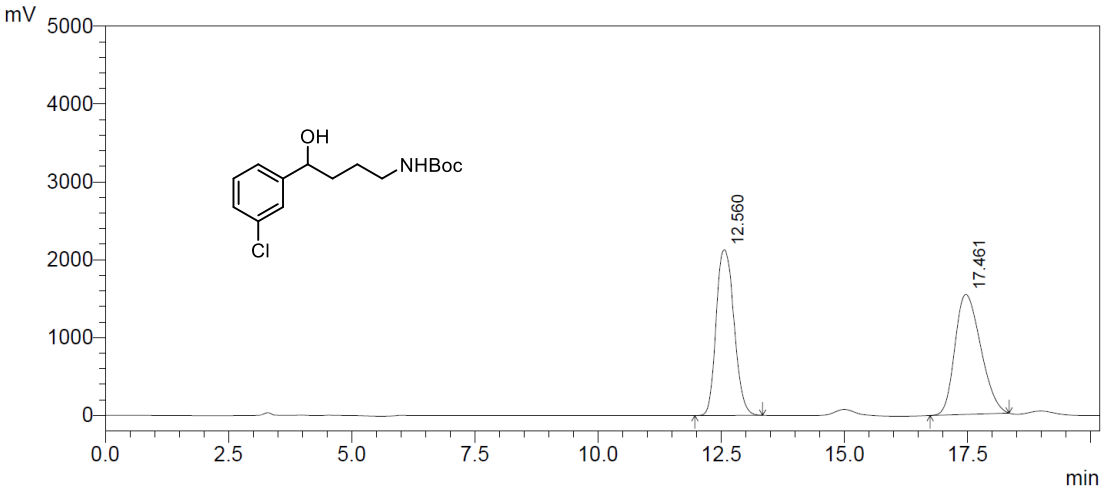
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.123	30477680	49.813
2	18.986	30706166	50.187
Sum		61183847	100.000



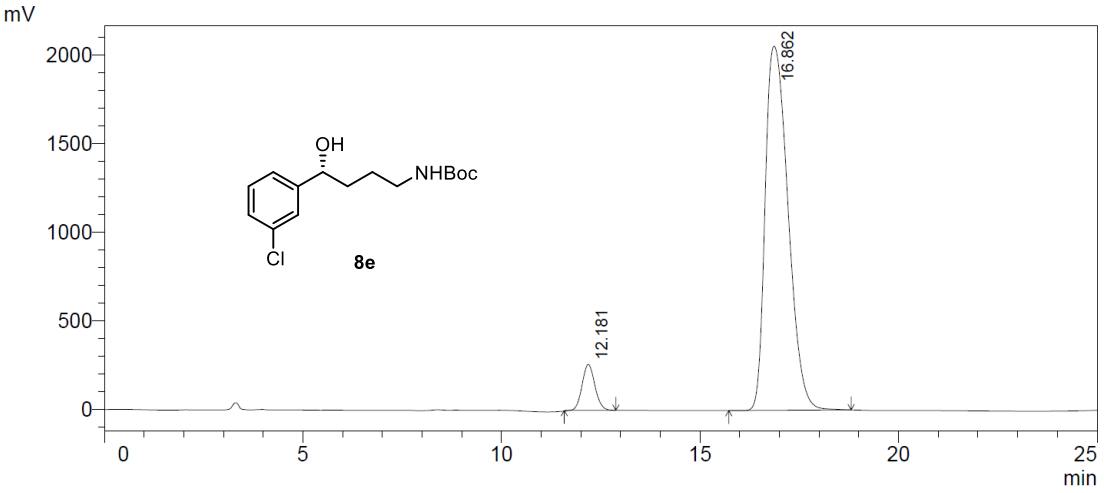
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.235	985400	3.577
2	19.099	26565491	96.423
Sum		27550891	100.000



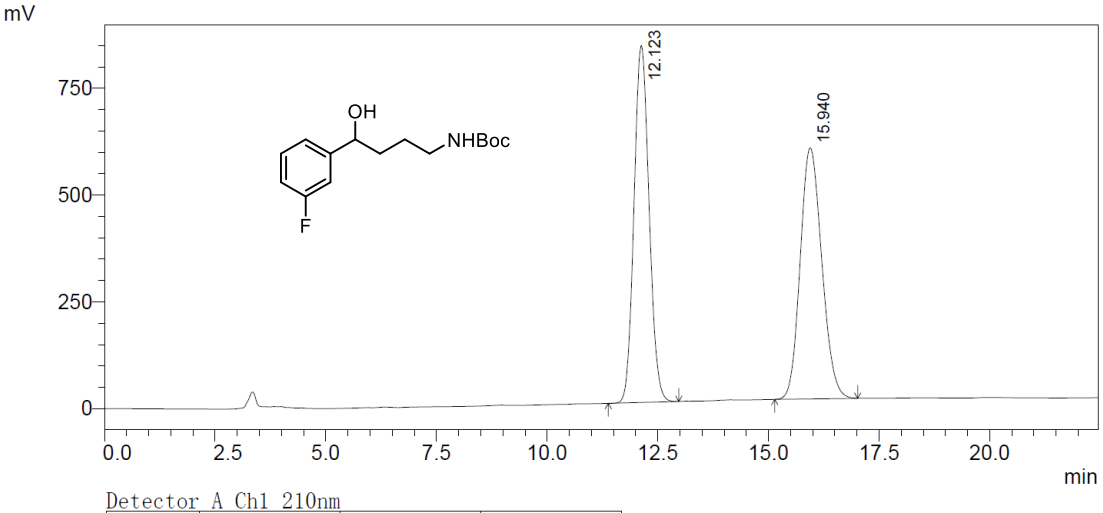
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.560	53756485	48.889
2	17.461	56198600	51.111
		109955085	100.000



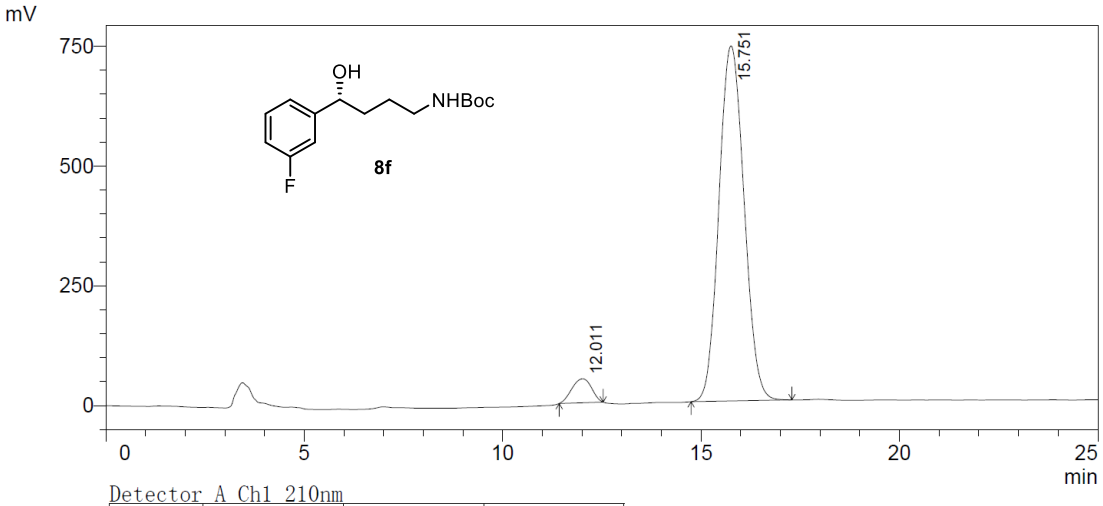
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.181	5824246	6.605
2	16.862	82358312	93.395
Sum		88182558	100.000



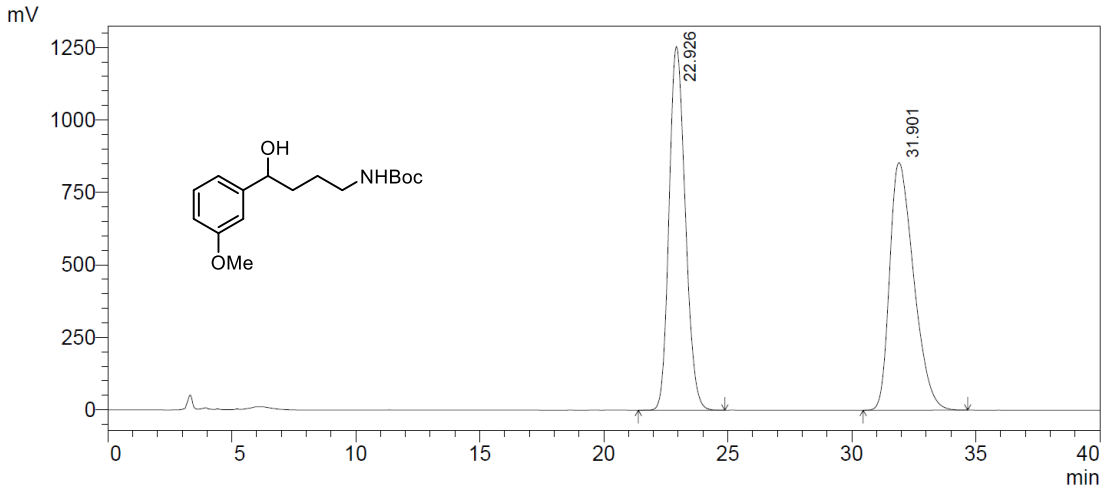
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.123	19835075	49.852
2	15.940	19953089	50.148
Sum		39788164	100.000



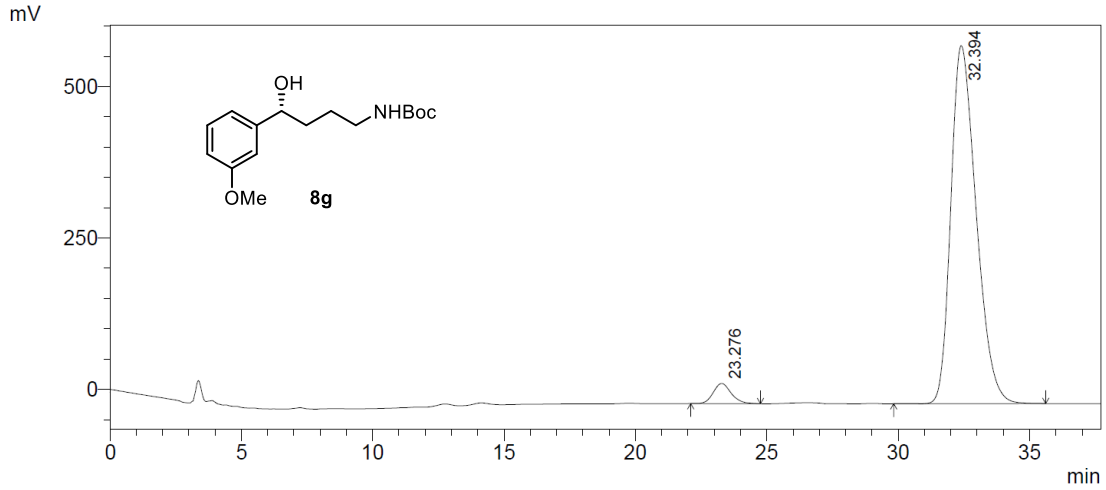
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.011	1724038	5.018
2	15.751	32632490	94.982
Sum		34356528	100.000



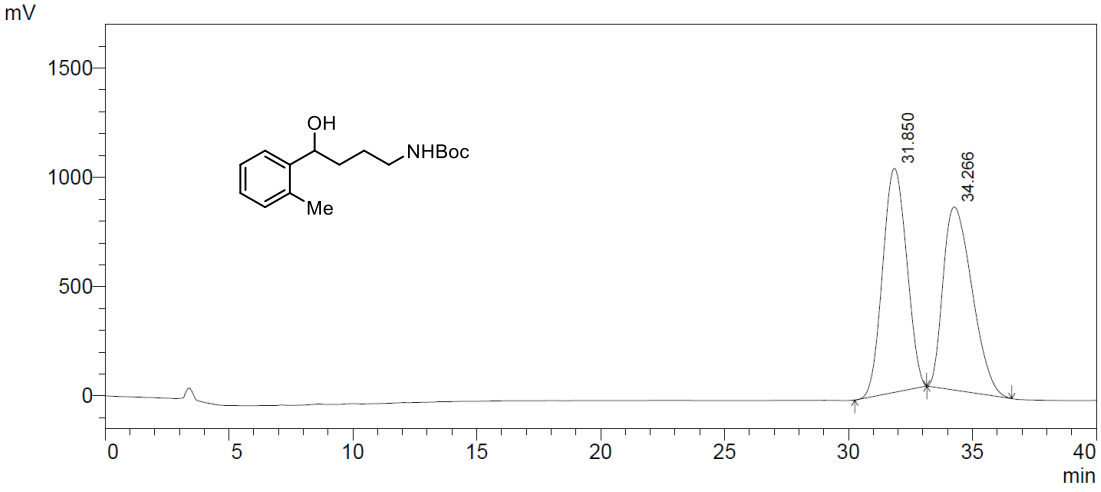
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	22.926	57072887	49.756
2	31.901	57633599	50.244
Sum		114706486	100.000



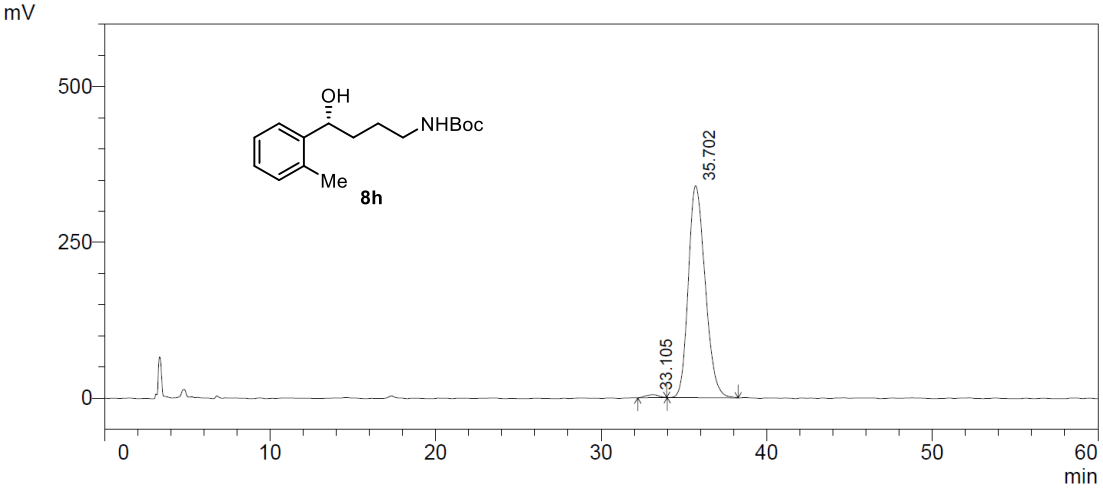
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	23.276	1575071	3.822
2	32.394	39637290	96.178
Sum		41212360	100.000



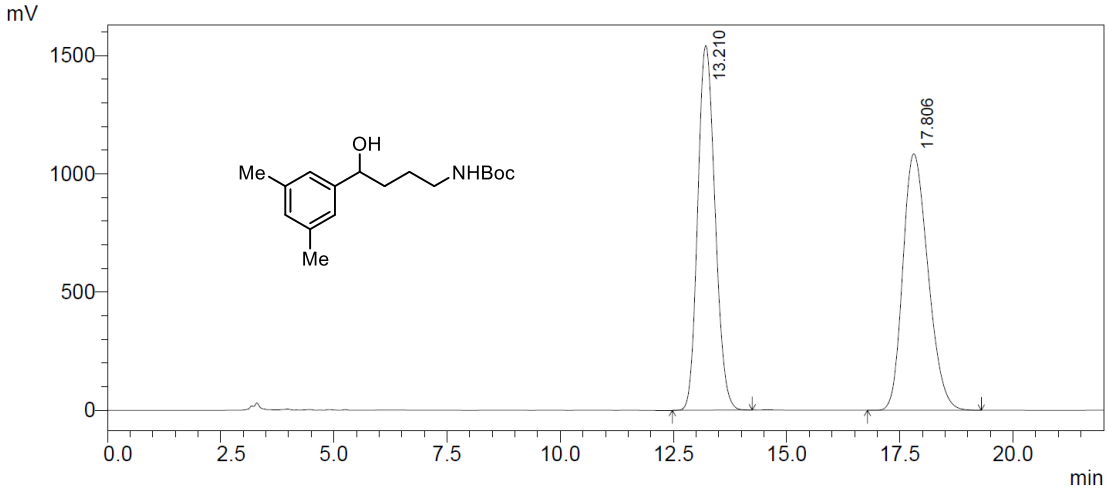
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	31.850	69084991	50.225
2	34.266	68465857	49.775
Sum		137550848	100.000



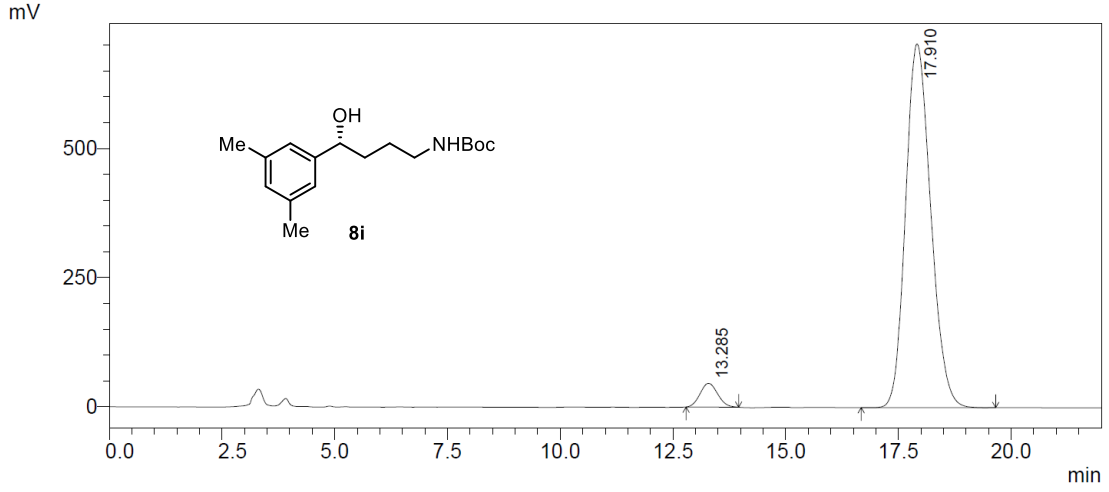
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	33.105	257492	1.057
2	35.702	24111086	98.943
Sum		24368577	100.000



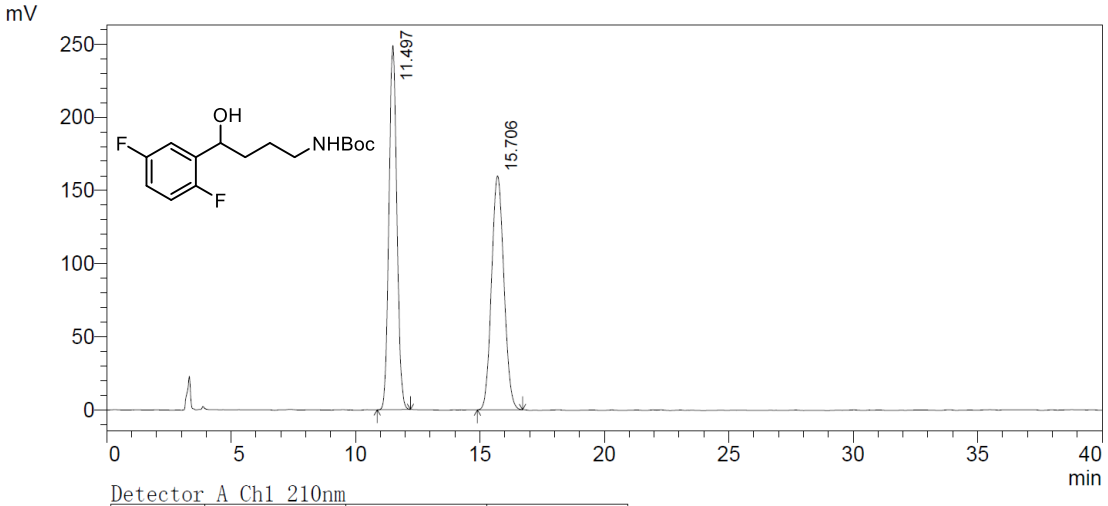
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	13.210	41076494	49.479
2	17.806	41941612	50.521
Sum		83018106	100.000



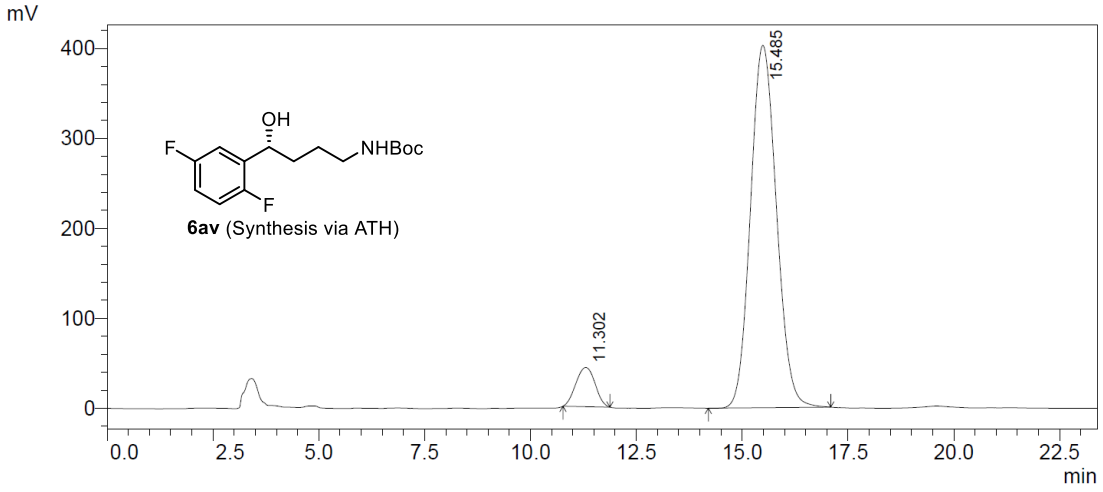
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	13.285	1246180	4.361
2	17.910	27331135	95.639
Sum		28577315	100.000



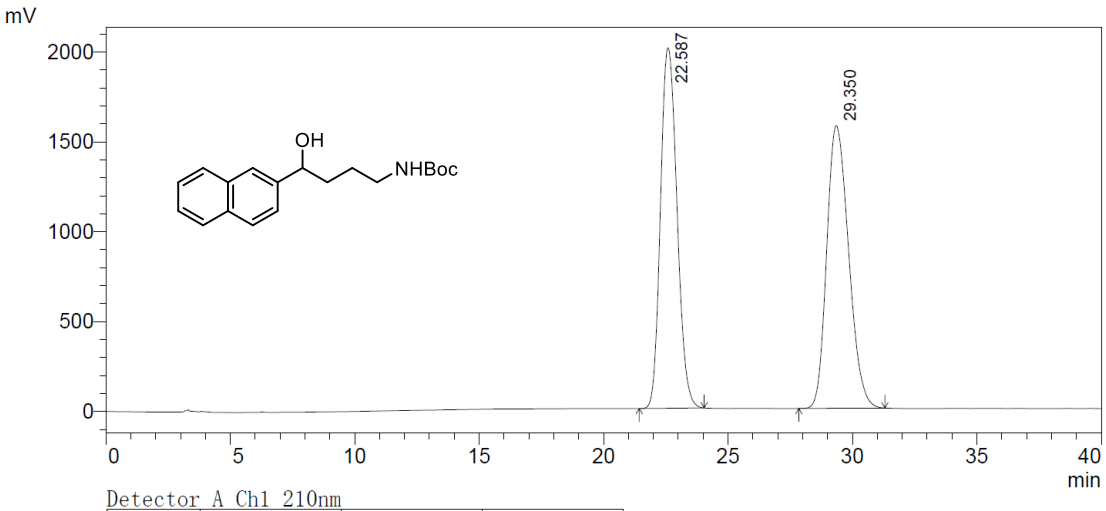
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	11.497	5526360	49.979
2	15.706	5531037	50.021
Sum		11057397	100.000



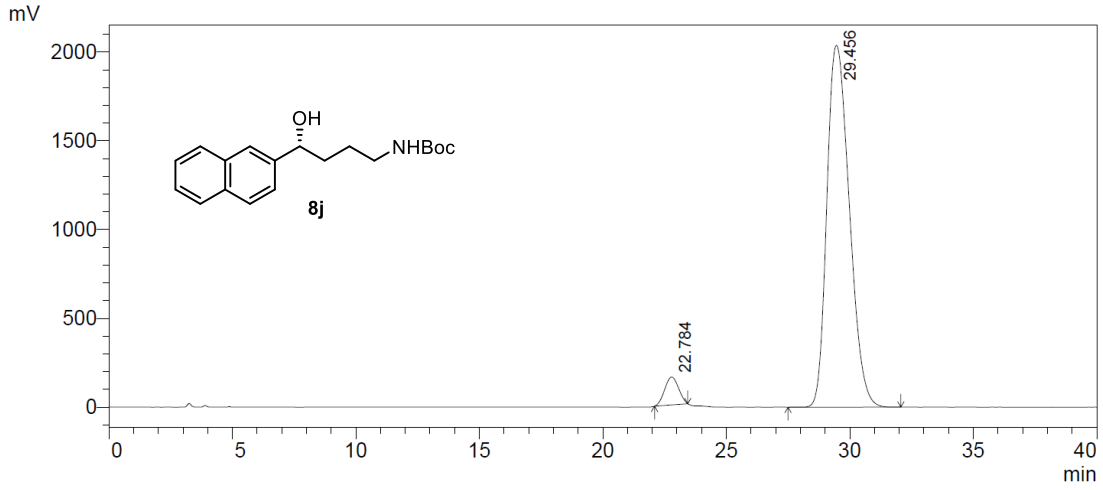
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	11.302	1373812	7.407
2	15.485	17173098	92.593
Sum		18546910	100.000



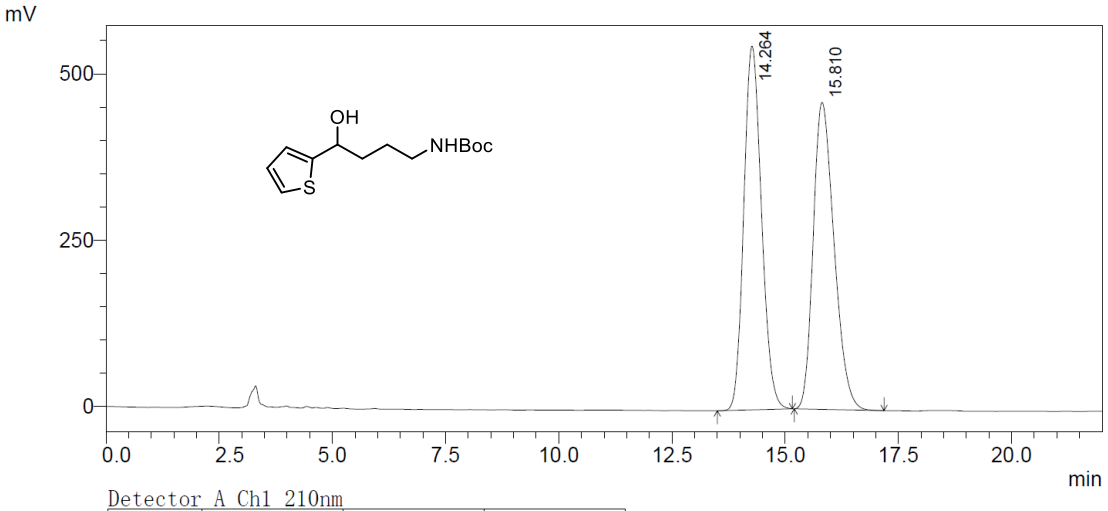
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	22.587	92600980	49.018
2	29.350	96312590	50.982
Sum		188913570	100.000



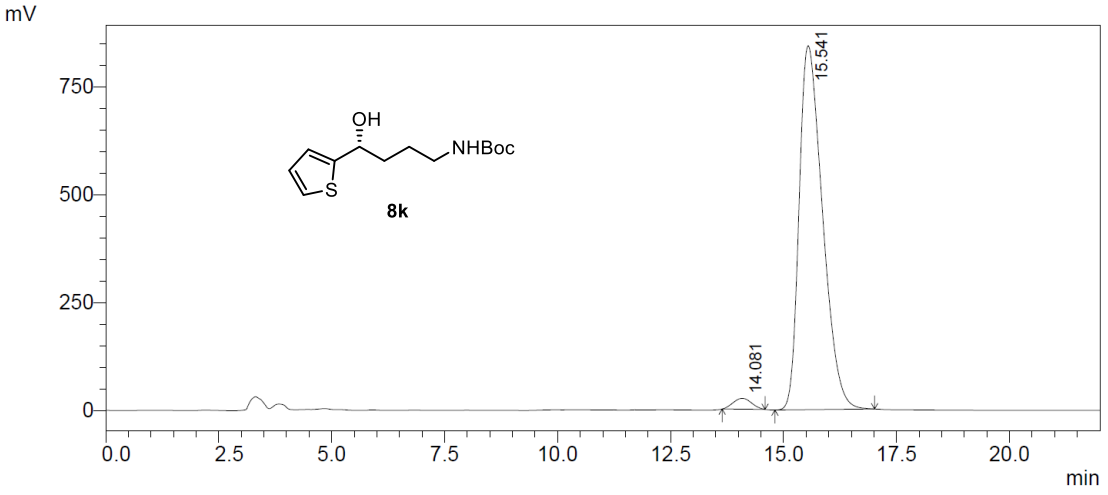
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	22.784	6114180	4.523
2	29.456	129078060	95.477
Sum		135192240	100.000



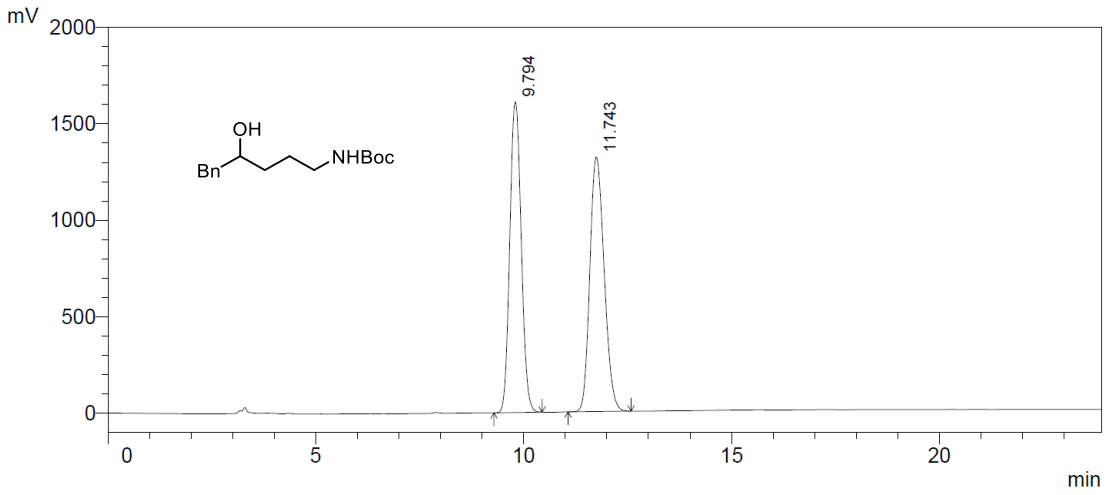
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.264	14866464	50.054
2	15.810	14834261	49.946
Sum		29700725	100.000



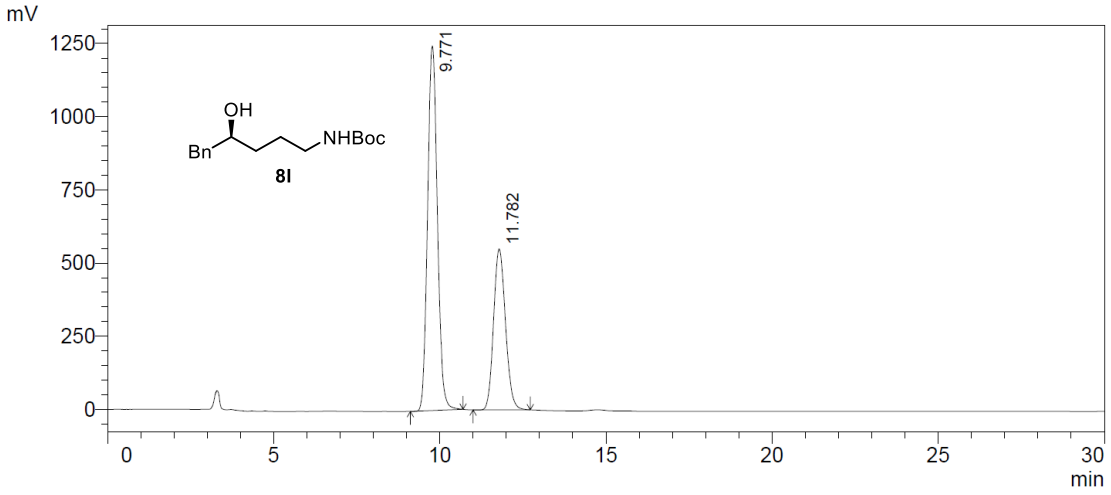
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	14.081	711573	2.287
2	15.541	30408791	97.713
Sum		31120364	100.000



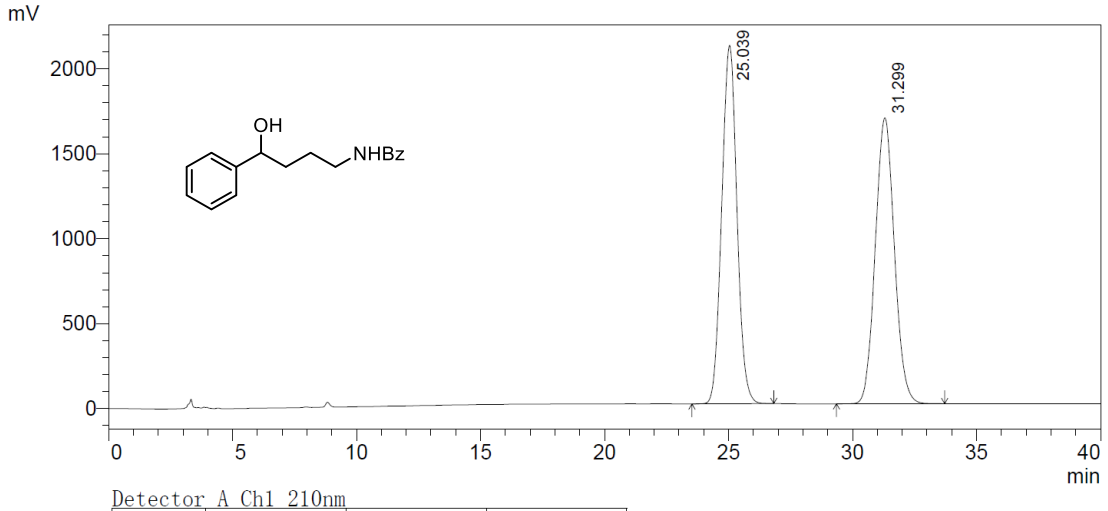
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	9.794	30793477	49.357
2	11.743	31595477	50.643
Sum		62388954	100.000



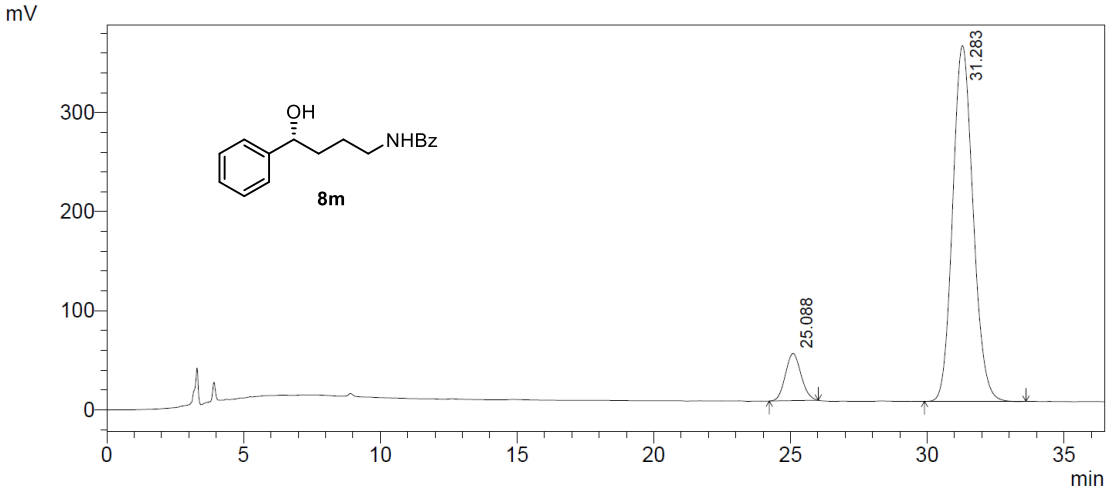
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	9.771	25555142	65.111
2	11.782	13693565	34.889
Sum		39248706	100.000



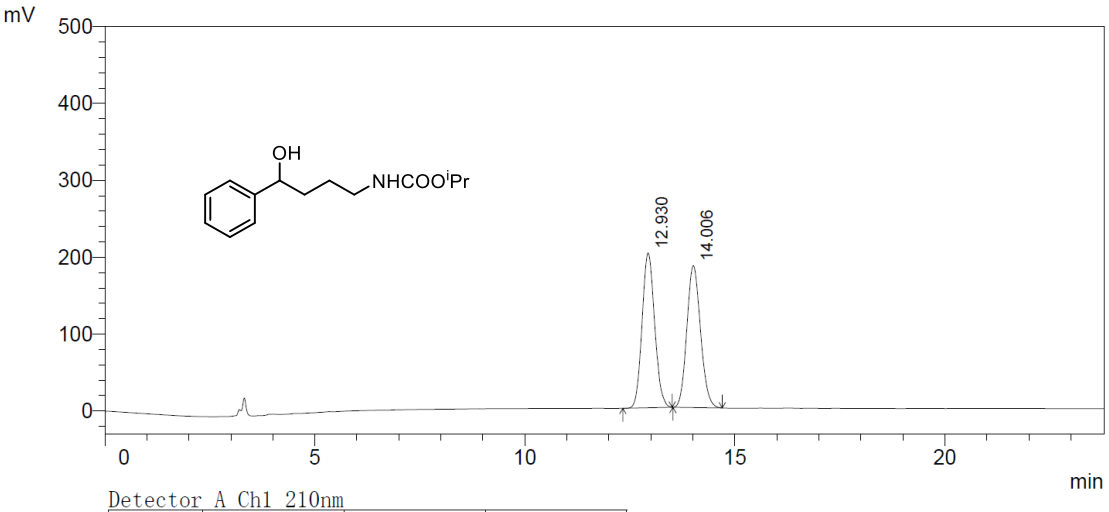
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	25.039	89879970	49.943
2	31.299	90086065	50.057
Sum		179966035	100.000



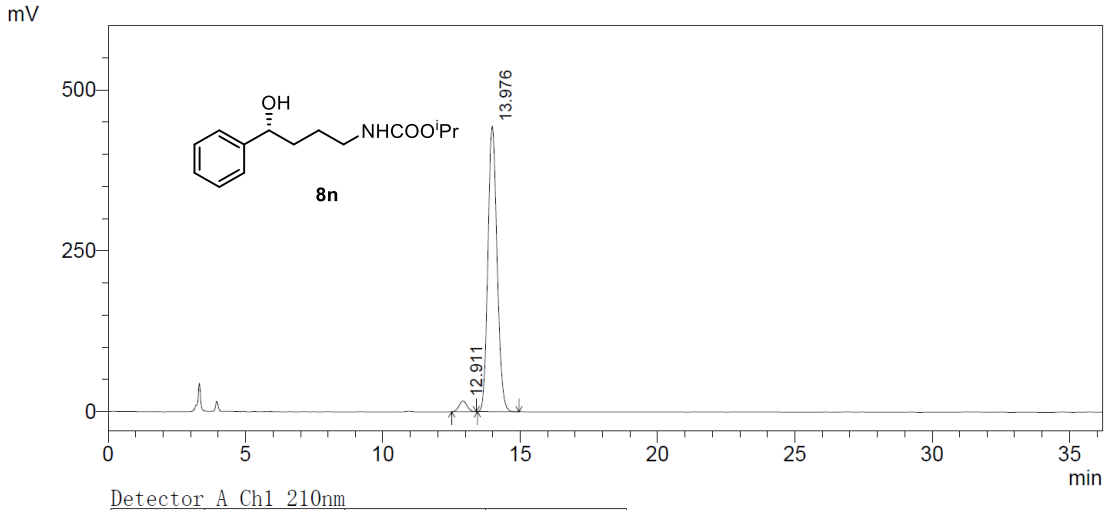
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	25.088	1903593	9.276
2	31.283	18618484	90.724
Sum		20522076	100.000



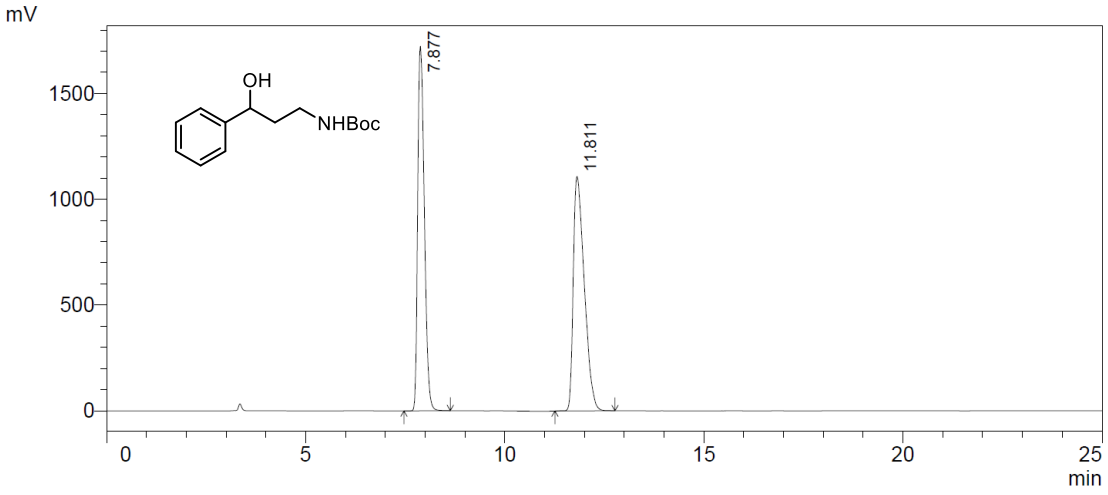
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.930	4195424	50.014
2	14.006	4193085	49.986
Sum		8388509	100.000



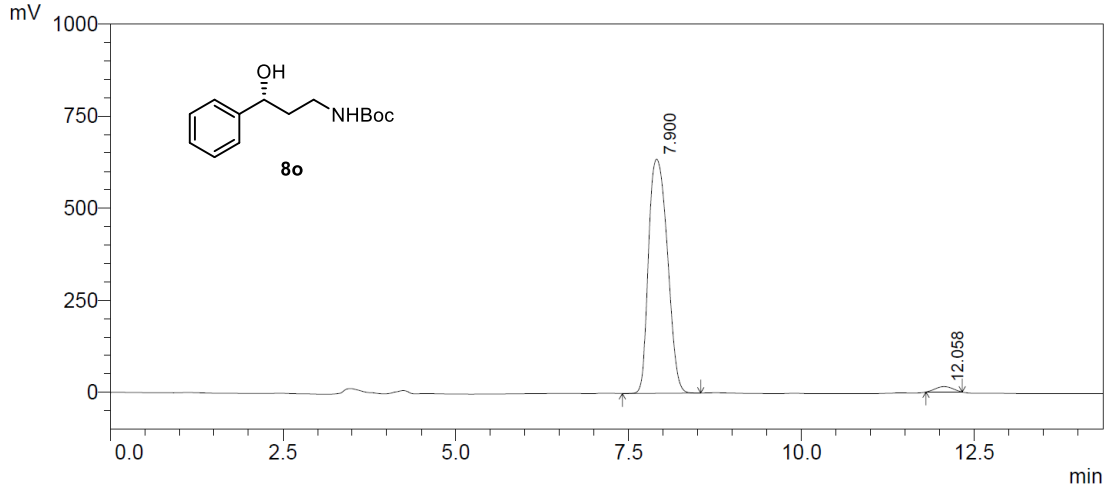
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	12.911	338507	3.175
2	13.976	10321756	96.825
Sum		10660263	100.000



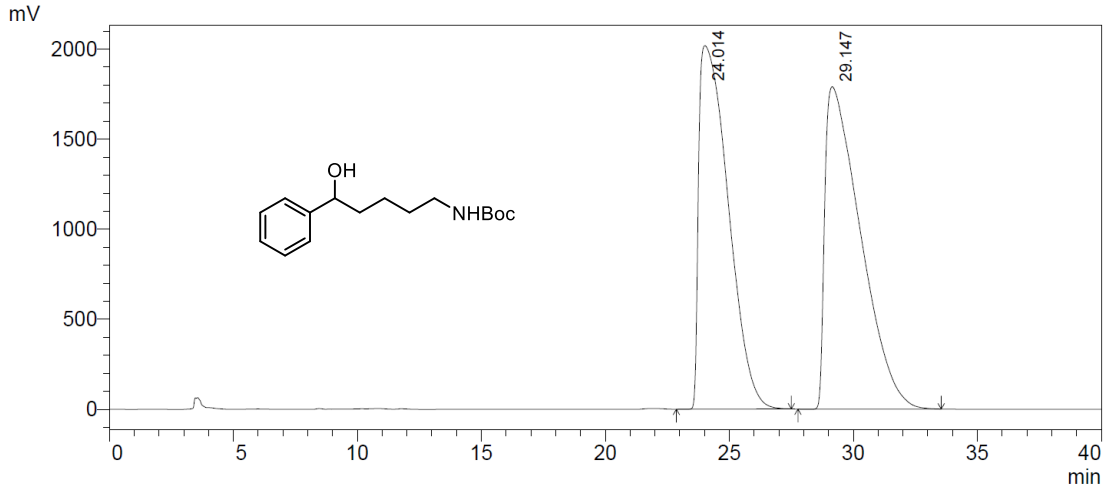
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	7.877	20019755	48.526
2	11.811	21236100	51.474
Sum		41255855	100.000



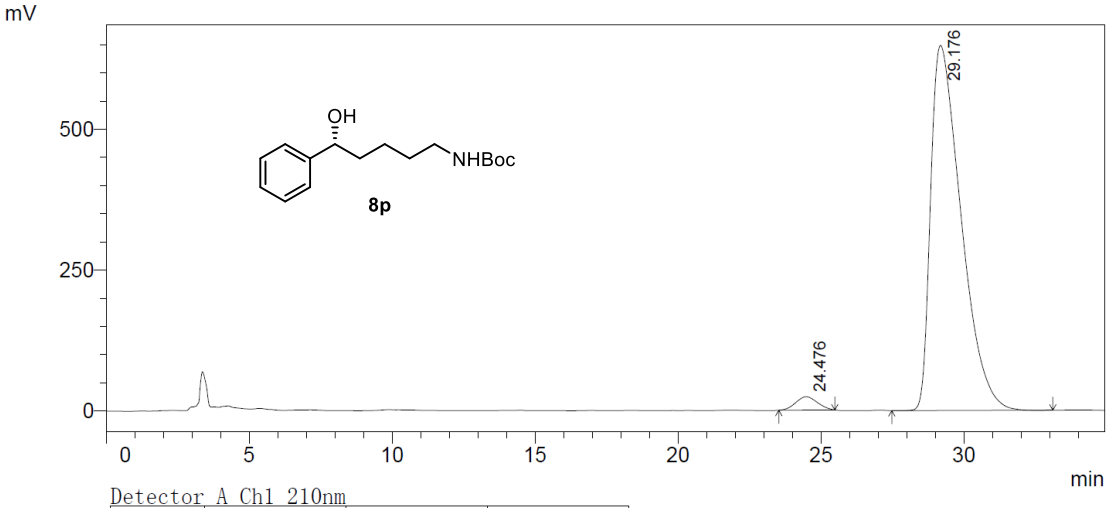
Detector A Ch1 220nm

Peak#	Ret. Time	Area	Area %
1	7.900	12084111	97.911
2	12.058	257848	2.089
Sum		12341959	100.000



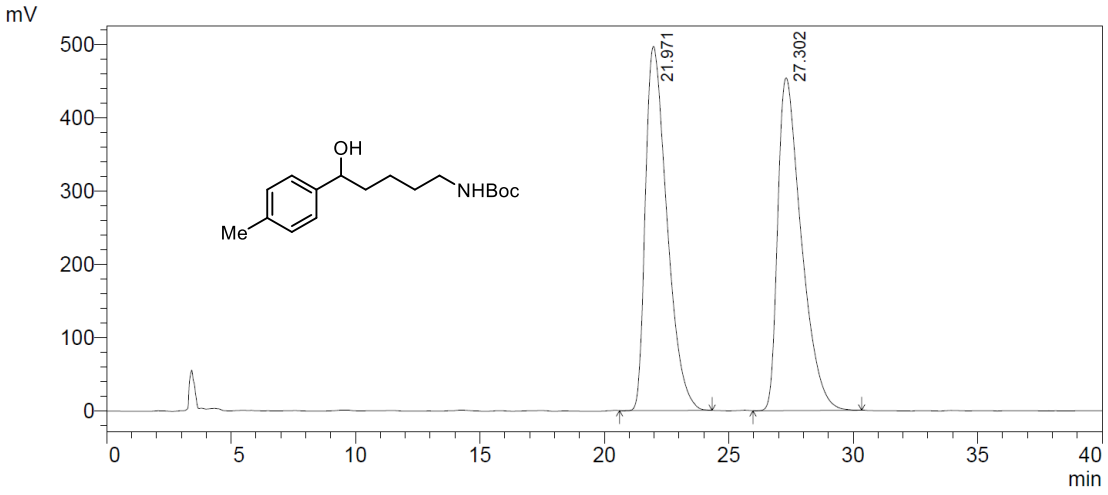
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	24.014	166656568	48.215
2	29.147	178996639	51.785
Sum		345653207	100.000



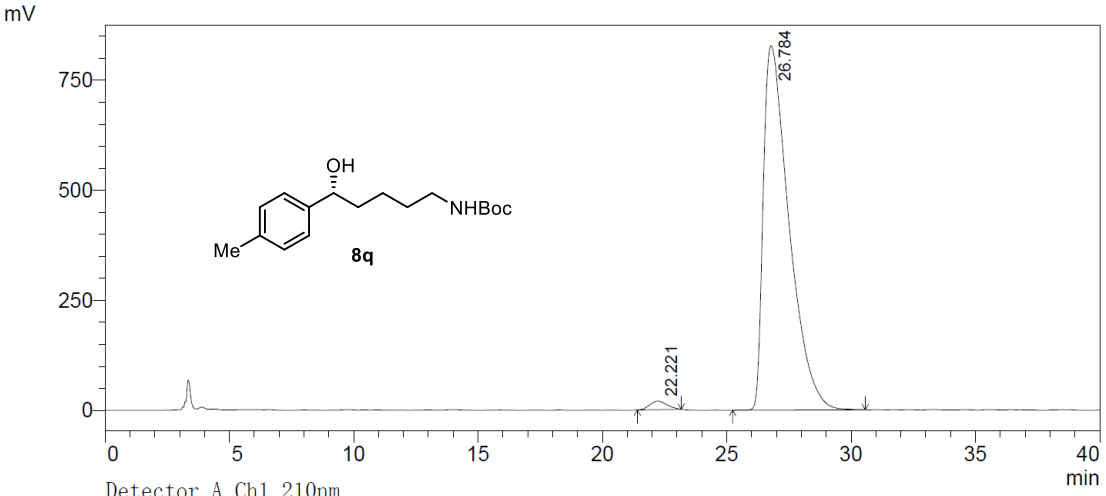
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	24.476	1246227	2.536
2	29.176	47893099	97.464
Sum		49139326	100.000



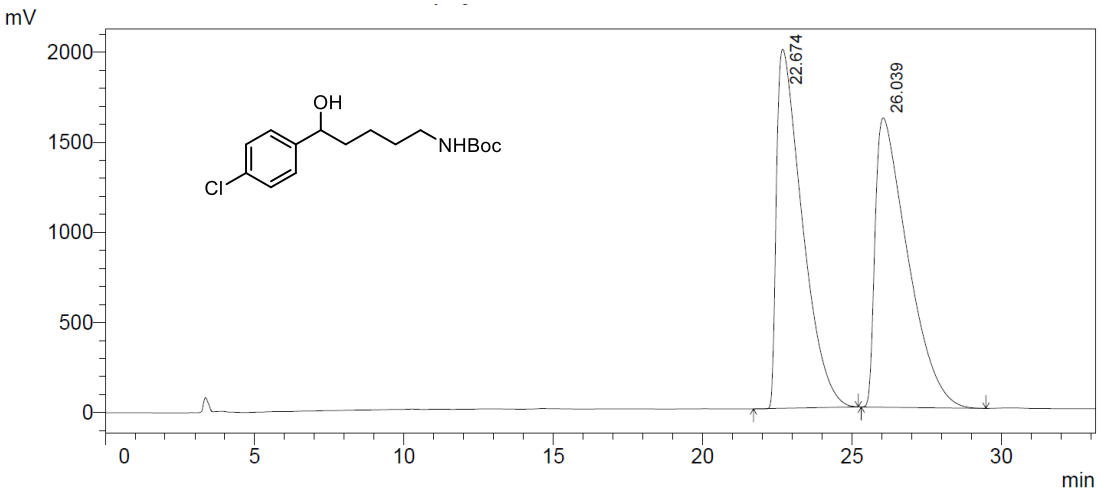
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	21.971	29865882	49.945
2	27.302	29931323	50.055
Sum		59797205	100.000



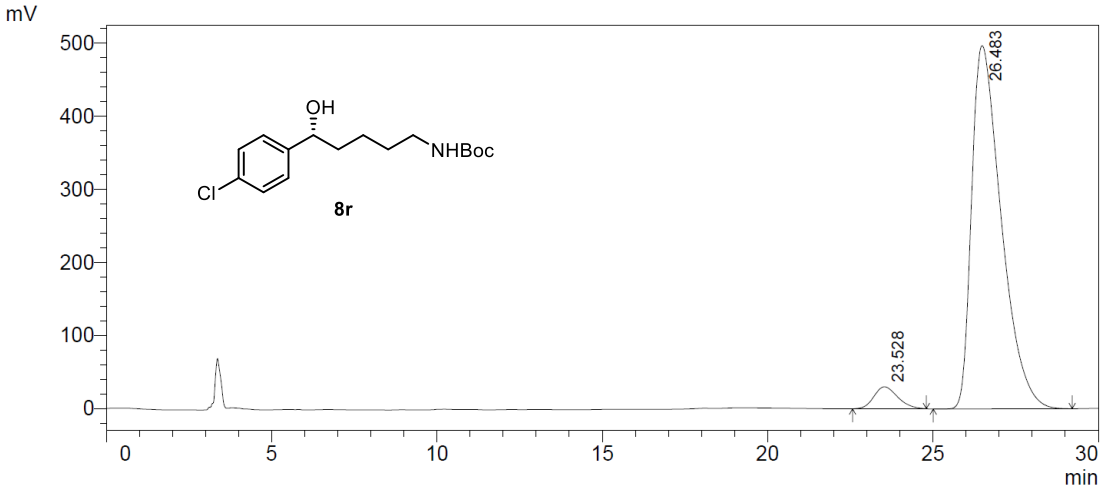
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	22.221	947747	1.569
2	26.784	59475163	98.431
Sum		60422909	100.000



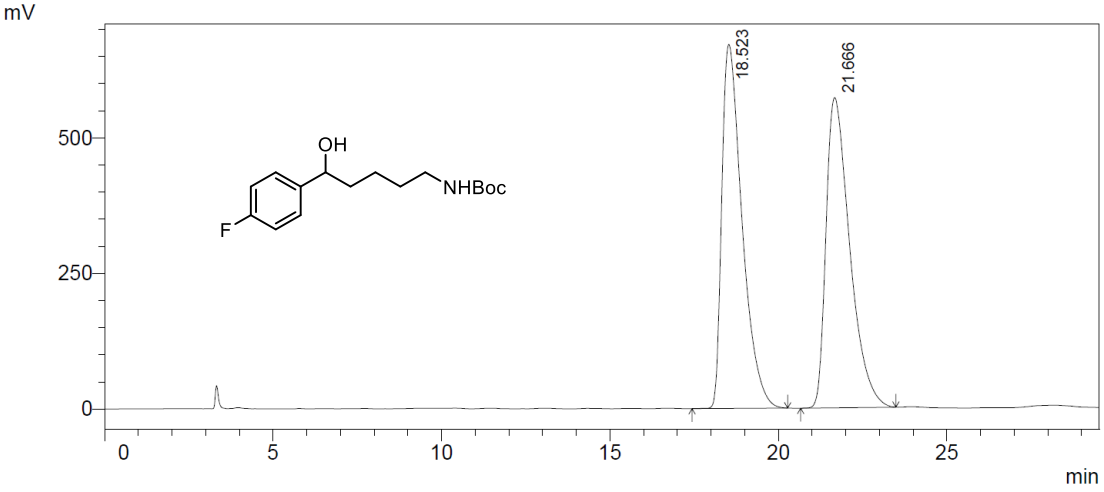
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	22.674	119209227	49.534
2	26.039	121453081	50.466
Sum		240662308	100.000



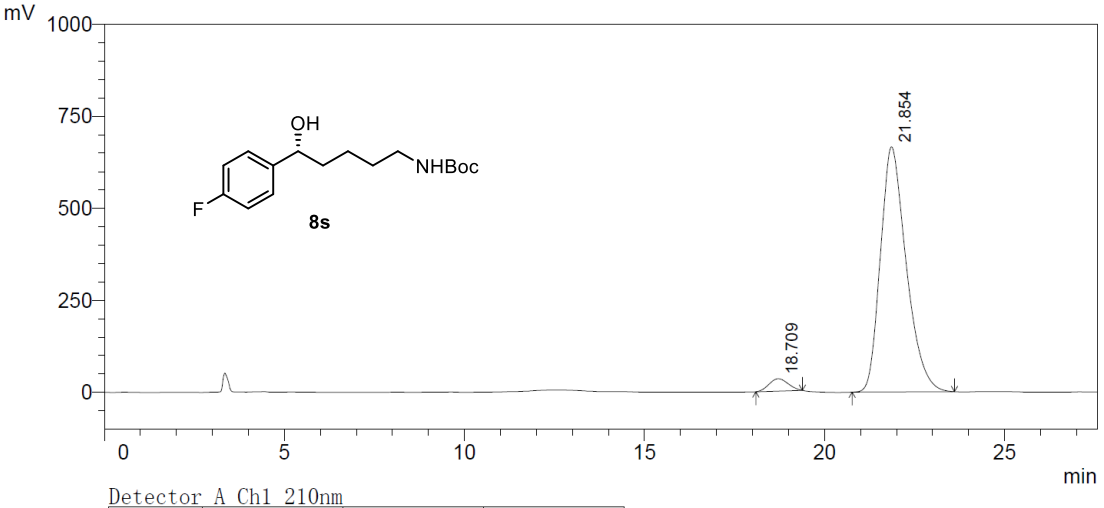
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	23.528	1503100	4.622
2	26.483	31015923	95.378
Sum		32519022	100.000



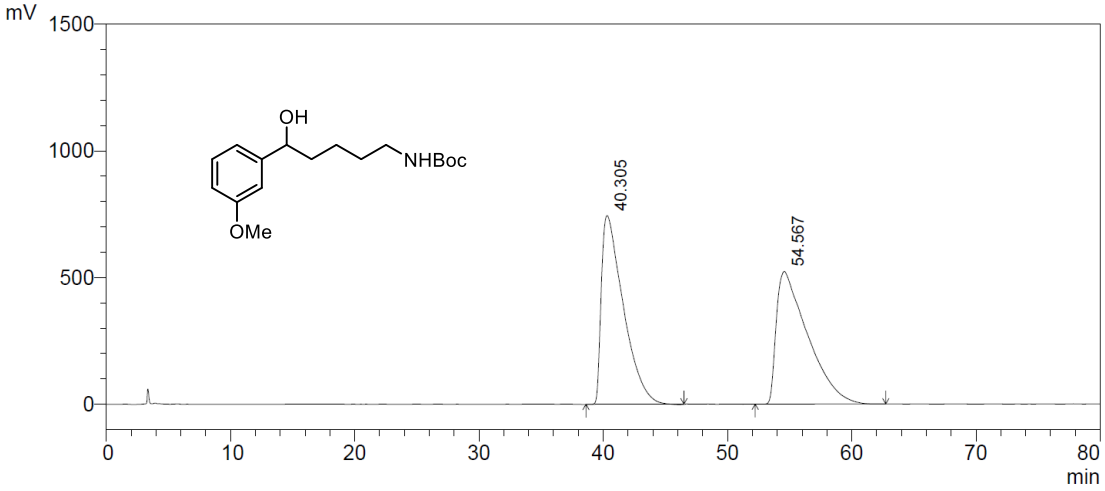
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	18.523	28037357	50.010
2	21.666	28026236	49.990
Sum		56063593	100.000



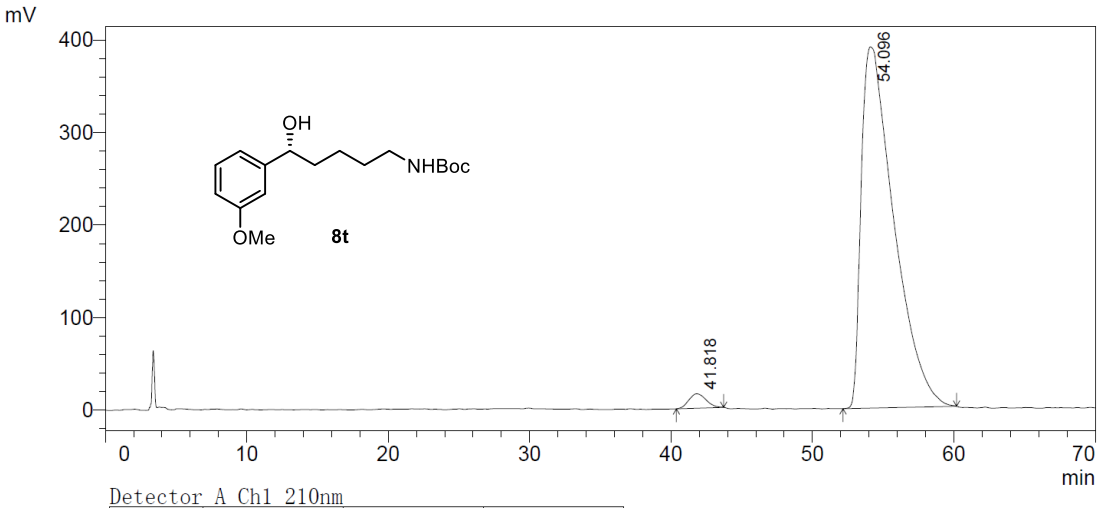
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	18.709	1243002	3.603
2	21.854	33253365	96.397
Sum		34496367	100.000



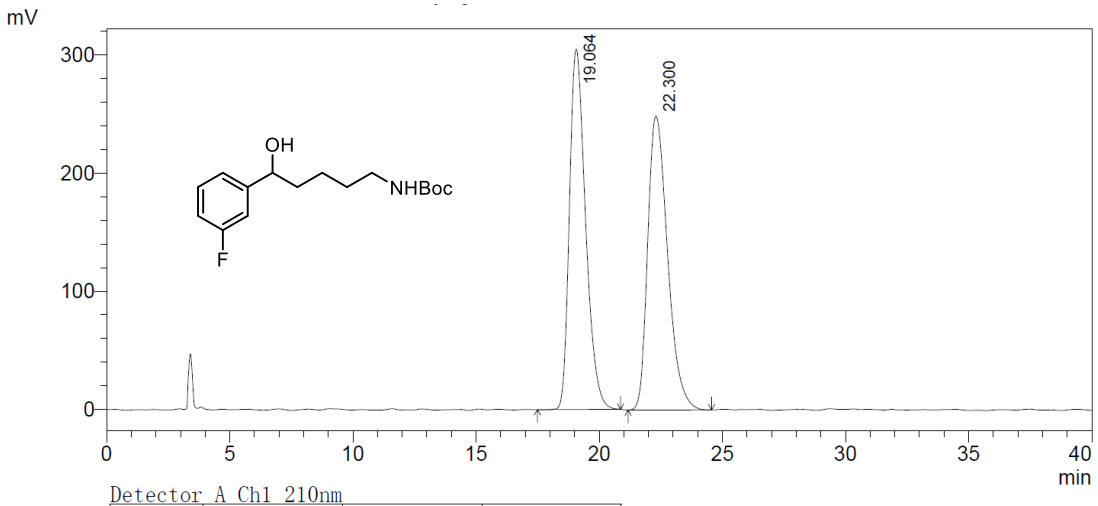
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	40.305	91296651	49.947
2	54.567	91491479	50.053
Sum		182788130	100.000



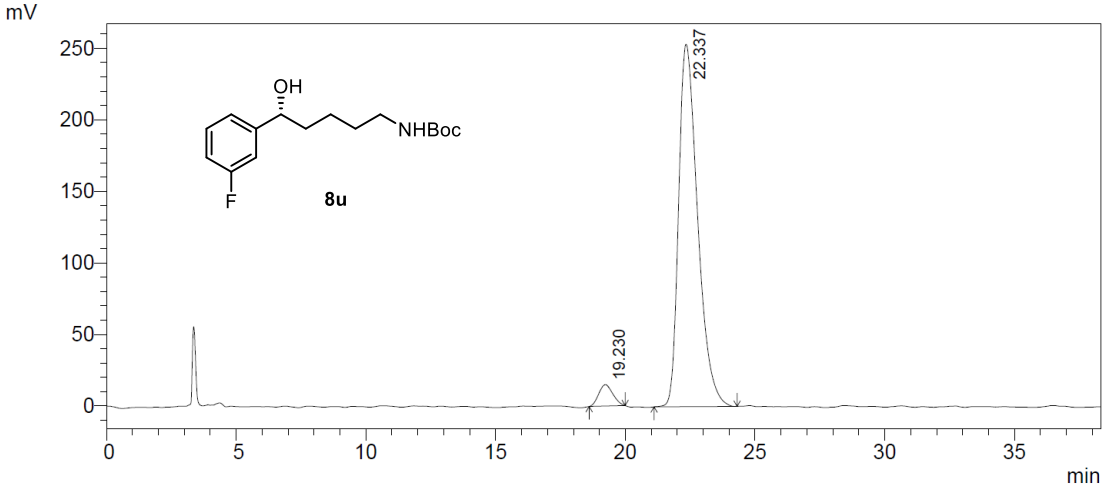
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	41.818	1318670	2.060
2	54.096	62682470	97.940
Sum		64001139	100.000



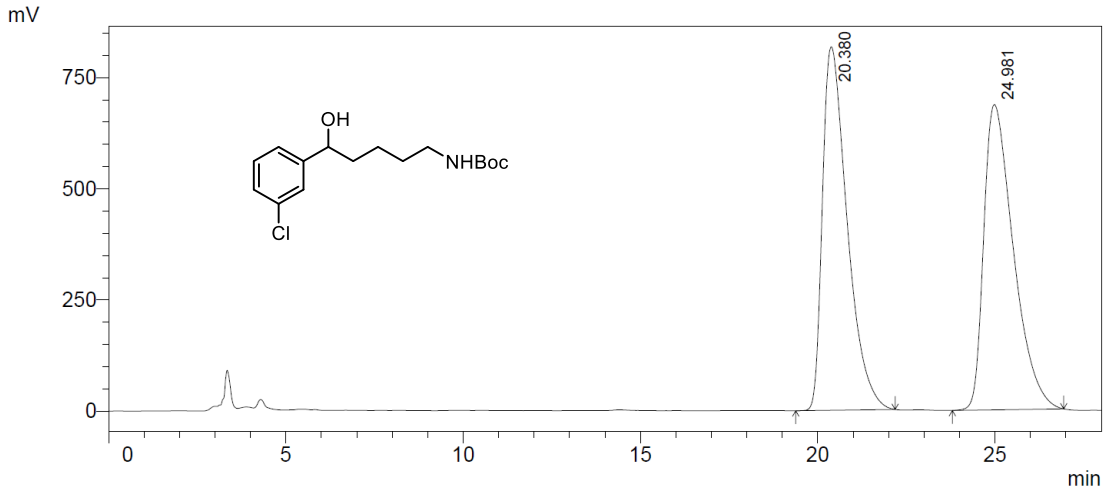
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	19.064	13882484	49.829
2	22.300	13977762	50.171
Sum		27860246	100.000



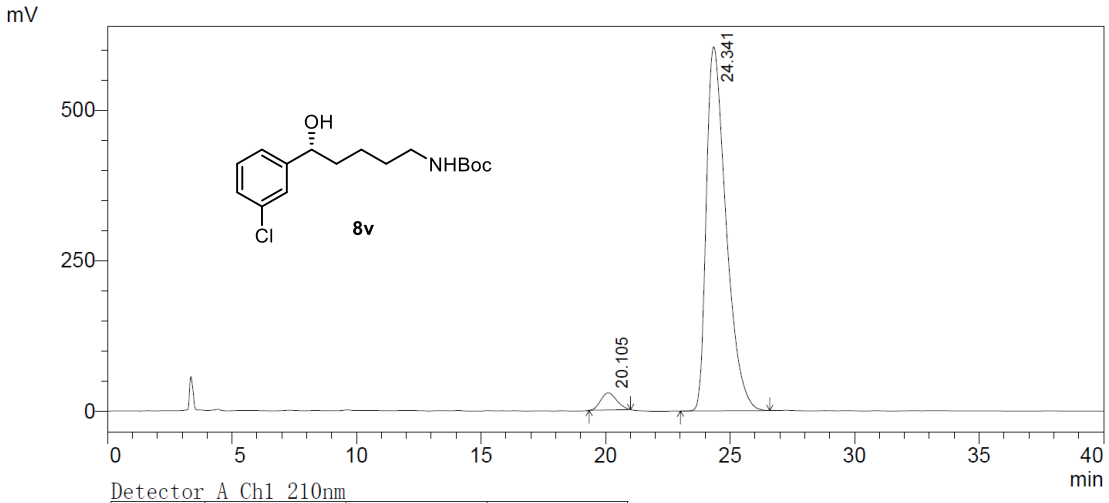
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	19.230	565784	4.201
2	22.337	12902177	95.799
Sum		13467961	100.000



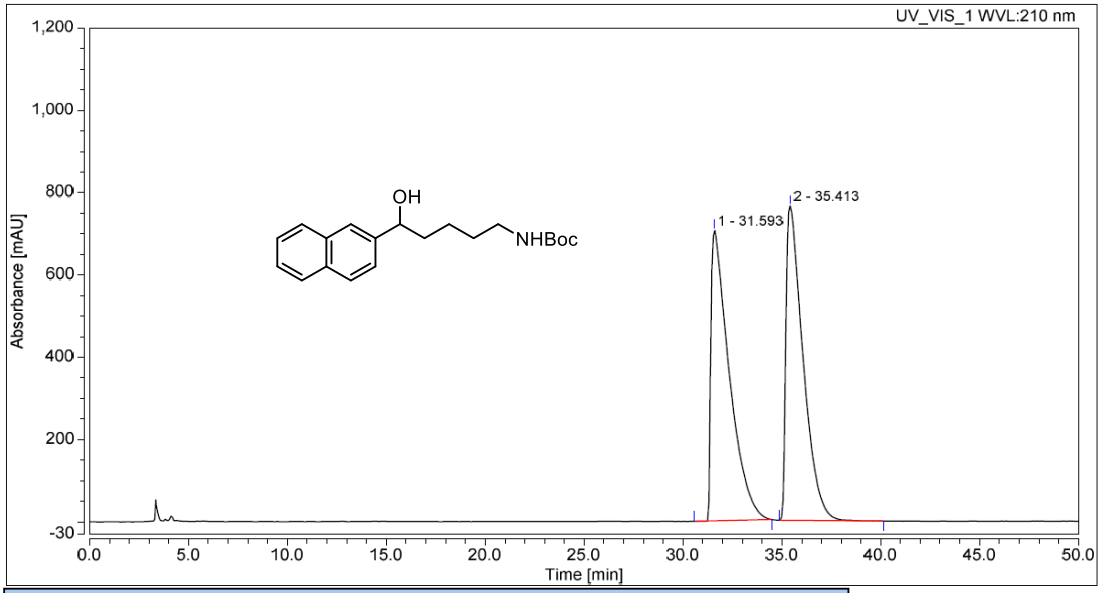
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	20.380	39685685	49.851
2	24.981	39922955	50.149
Sum		79608640	100.000

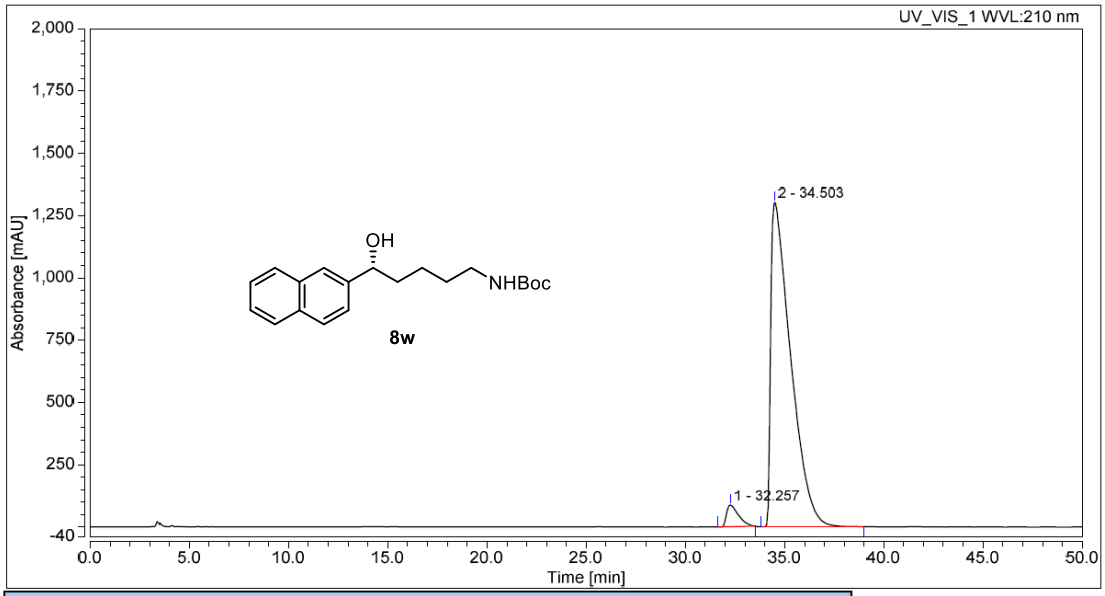


Detector A Ch1 210nm

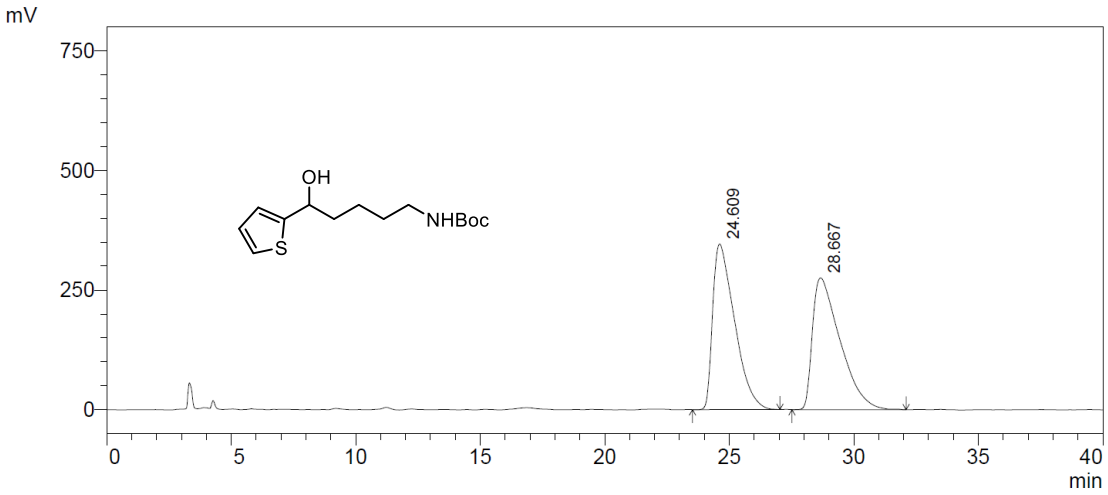
Peak#	Ret. Time	Area	Area %
1	20.105	1274472	3.677
2	24.341	33385307	96.323
Sum		34659779	100.000



Integration Results					
No.	Retention Time min	Area mAU*min	Relative Area %	Relative Height %	Amount n.a.
1	31.593	758.708	49.82	48.02	n.a.
2	35.413	764.103	50.18	51.98	n.a.
Total:		**1522.810**	**100.00**	**100.00**	

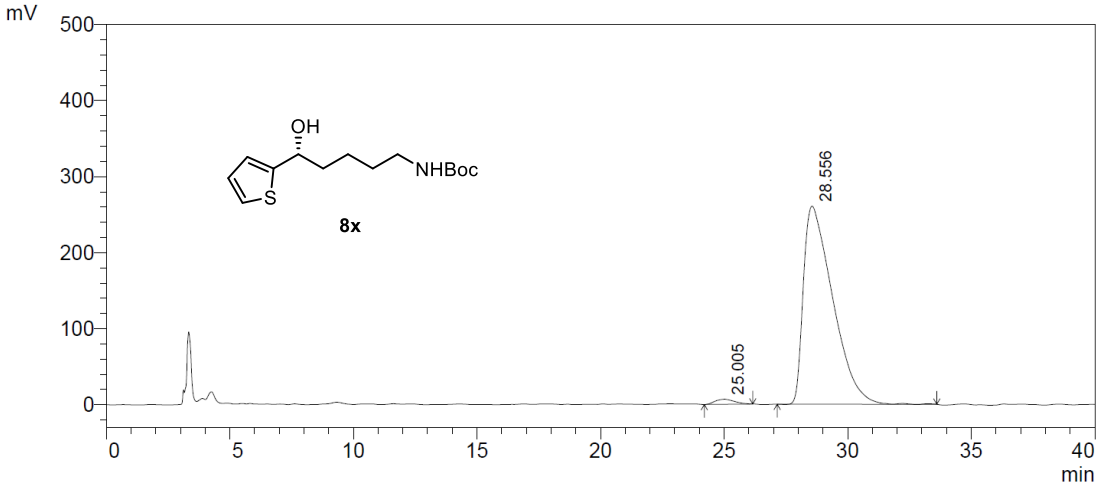


Integration Results					
No.	Retention Time min	Area mAU*min	Relative Area %	Relative Height %	Amount n.a.
1	32.257	60.465	3.85	6.29	n.a.
2	34.503	1509.748	96.15	93.71	n.a.
Total:		**1570.214**	**100.00**	**100.00**	



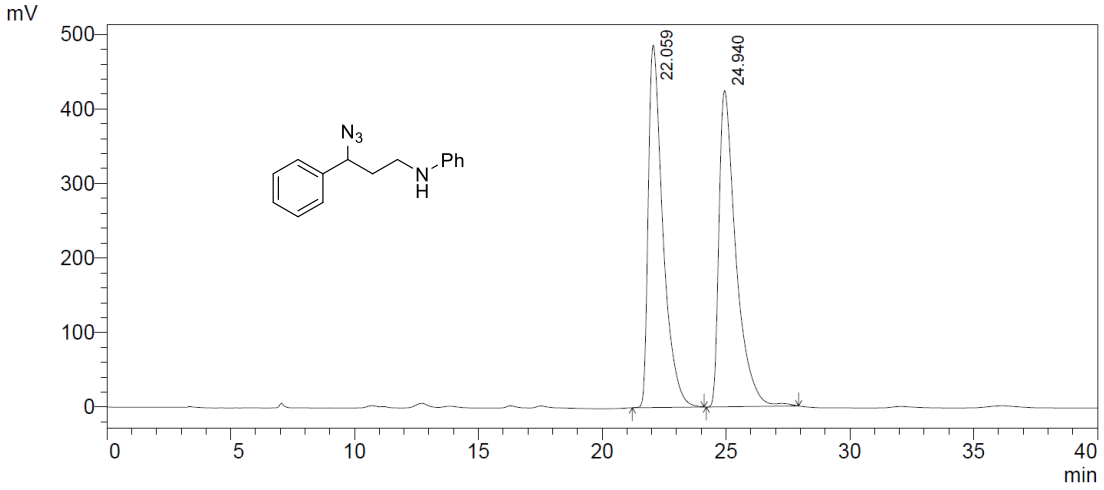
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	24.609	21136394	49.876
2	28.667	21241617	50.124
Sum		42378011	100.000



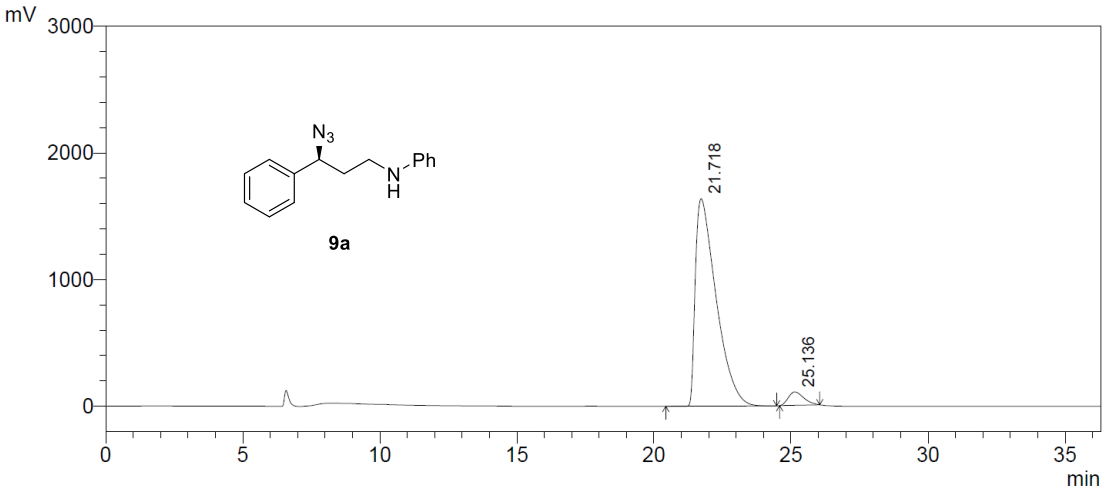
Detector A Ch1 210nm

Peak#	Ret. Time	Area	Area %
1	25.005	357688	1.637
2	28.556	21489770	98.363
Sum		21847458	100.000



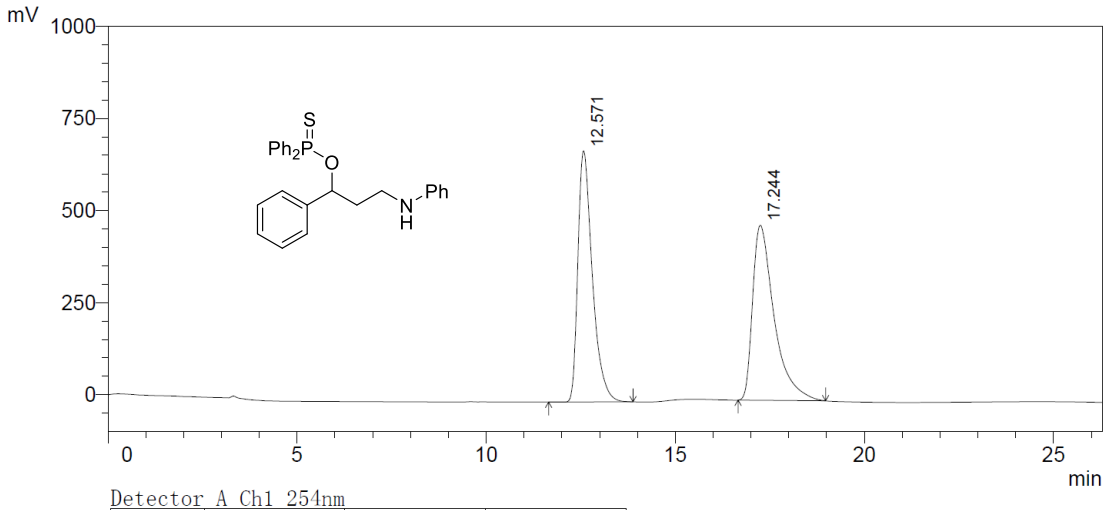
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	22.059	19970039	49.666
2	24.940	20238673	50.334
Sum		40208712	100.000



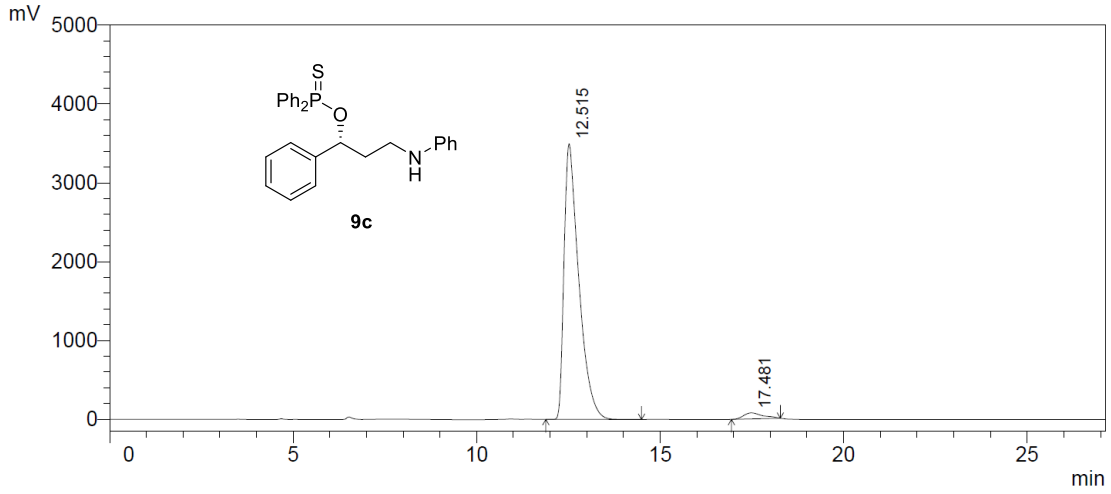
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	21.718	86471516	95.413
2	25.136	4157402	4.587
Sum		90628918	100.000



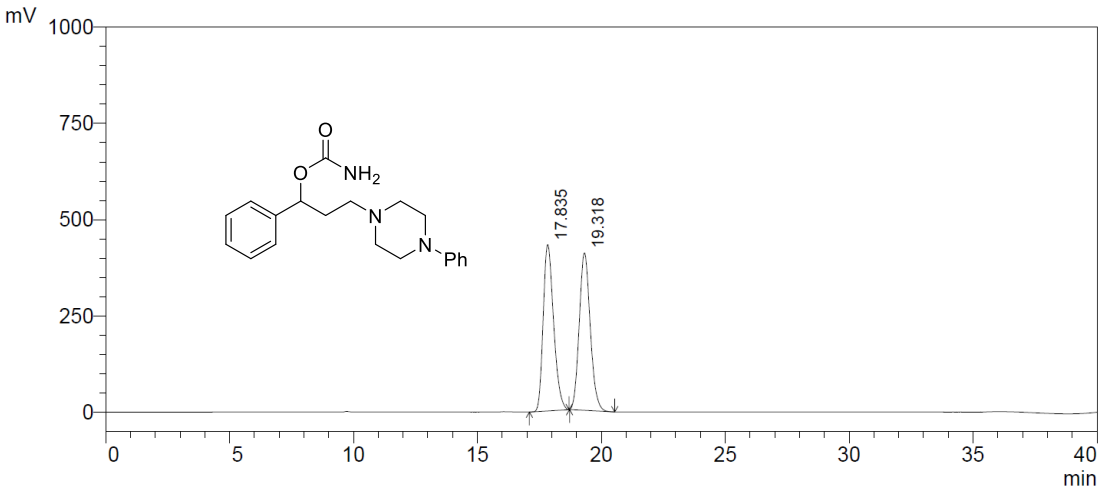
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	12.571	18123879	49.050
2	17.244	18825740	50.950
Sum		36949619	100.000



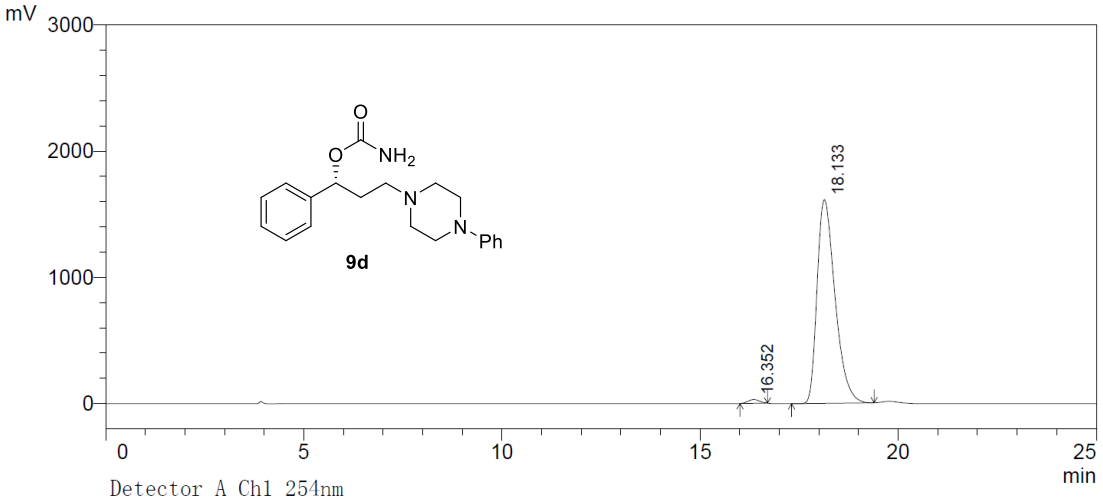
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Area %
1	12.515	97518557	97.193
2	17.481	2816895	2.807
Sum		100335452	100.000



Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	17.835	12642395	50.044
2	19.318	12620059	49.956
Sum		25262454	100.000



9d

Detector A Ch1 254nm

Peak#	Ret.Time	Area	Area %
1	16.352	597652	1.161
2	18.133	50897138	98.839
Sum		51494791	100.000