Supporting Information for

N-Aryl/Heteroaryl Oxaziridines: From Photochemical Synthesis to Reactivity Investigation in Heteroatom Transfer Reactions

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1. General

All reactions involving air- or moisture-sensitive reagents or intermediates were carried out in pre-heated glassware under an argon atmosphere using standard Schlenk techniques. THF was freshly distilled from K under argon. All other solvents and reagents were purified according to standard procedures or were used as received from chemical suppliers. The starting materials were synthesized according to literature procedures.. All reactions involving heating are carried out in an oil bath.

Chromatography: Analytical thin layer chromatography was performed using Qingdao Puke Parting Materials Co. silica gel plates (Silica gel 60 F254). Visualisation was by ultraviolet fluorescence (λ = 254 nm) and/or staining with phosphomolybdic acid or potassium permanganate ($KMnO_4$). Flash column chromatography was performed using 200-300 mesh silica gel.

^{1}H NMR and **^{13}C NMR** spectra were recorded on a JEOL JNM ECZ 400R or 600R at 300 K. Spectra were calibrated relative to solvent's residual proton and carbon chemical shift: $CHCl_3$ (δ = 7.26 for ^{1}H NMR and δ = 77.0 for ^{13}C NMR). Data are reported as follows: chemical shift δ/ppm, integration (^{1}H only), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, m = multiplet or combinations thereof; ^{13}C signals are singlets unless otherwise stated), coupling constants *J* in Hz, assignment.

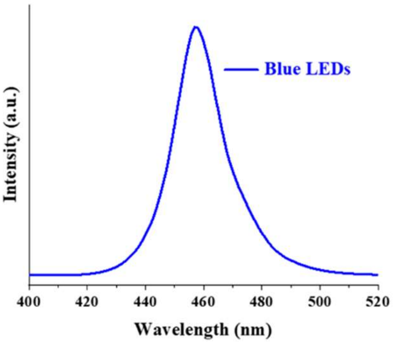
High Resolution Mass Spectrometry (HRMS): All were recorded on LTQ Orbitrap XL using a positive electrospray ionization (ESI$^+$). Measured values are reported to 4 decimal places of the calculated value. The calculated values are based on the most abundant isotope.

High Performance Liquid Chromatography (HPLC): The measurement of enantiomeric excesses (ee) was performed on Waters-Alliance (2998. Photodiode Array Detector, UV detection monitored at 254 nm). Chiralpak OD-H columns were purchased from Daicel Chemical Industries, LTD.

Melting points (MP) were determined by *Stuart SMP10* and are uncorrected.

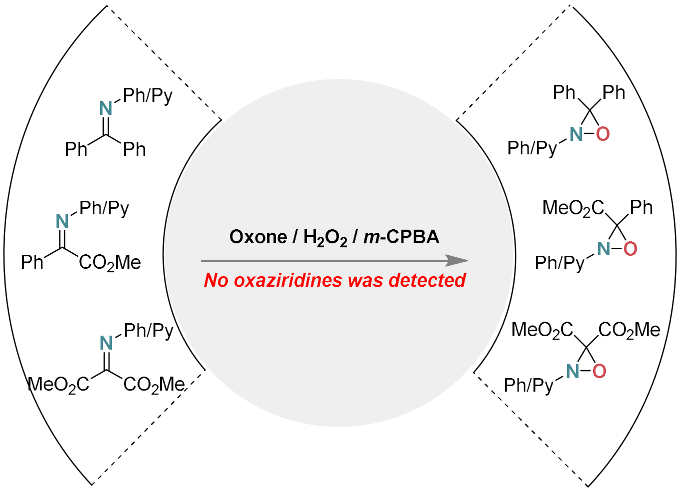
X-ray diffraction measurements were performed on a CCD area detector using graphite monochromated MoKα radiation (λ = 0.71069 Å) at 298(2) K and Bruker D8 Quest diffractometer (Cu Kα, λ= 1.54178 Å).

Light source: The light employed in this work was purchased from GeAo Chemical: model H106062, 24 W blue LEDs (455 nm).

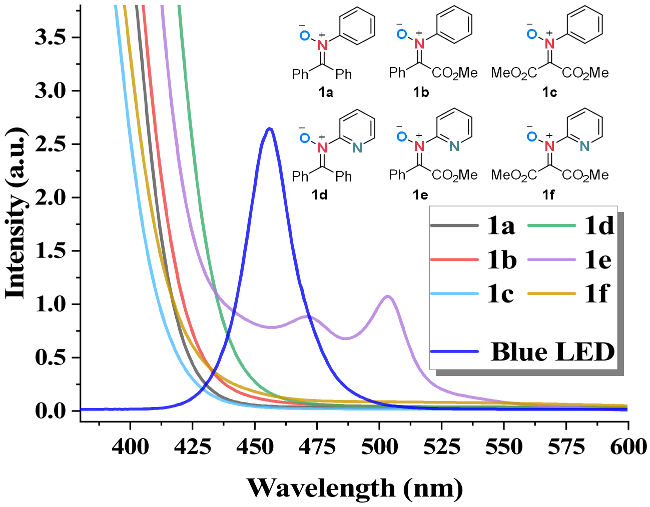


2. Synthesis of oxaziridines through imine oxidation

We used oxone, H_2O_2 and *m*-CPBA to oxidize imines in DCM, respectively. Unfortunately, we did not observe the formation of the target oxaziridines.

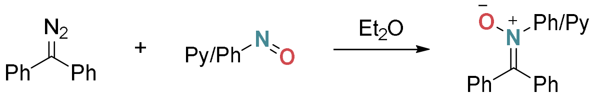


3. UV-vis of *N*-aryl/heteroaryl nitrones

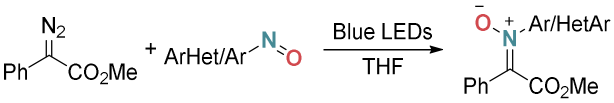


4. Preparation and spectral data of starting materials

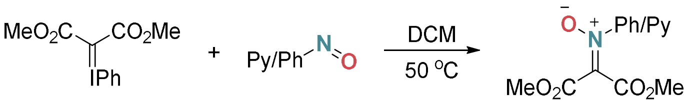
The different nitrones are prepared by the following procedure according to literature reports and the spectral data of the unreported starting material are listed below.



In a 50-mL flask were added (diazomethylene)dibenzene (1.94 g, 10.0 mmol, 1.0 equiv.), Et_2O (20 mL) and nitroso compounds (10.0 mmol, 1.0 equiv.). The mixture was stirred vigorously for 5 min to produce a yellow suspension. The solid was washed with Et_2O (10 mL) then dried under high vacuum to yield nitrones as a yellow solid.[1]

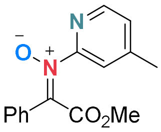


To a 10 mL Schlenk flask equipped with a magnetic stir bar was added diazoalkanes (0.15 mmol), nitroso compounds (0.1 mmol), dry THF (1.0 mL). After the solution was stirred at a distance of ~3 cm from a 24 w blue LED at room temperature for 1-4 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (20:1~5:1) to provide pure product.[2]



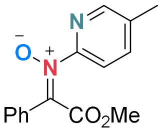
To a tube equipped with a magnetic stir bar were added iodonium ylide (0.2 mmol, 2.0 equiv.), nitroso compounds (0.1 mmol, 1.0 qeuiv.) and dry DCM (1.0 mL). The reaction system was then heated to 50 °C (oil bath) and stirred 1 h. At last, the solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (10:1) to provide pure product.[3]

(*E*)-2-Methoxy-*N*-(4-methylpyridin-2-yl)-2-oxo-1-phenylethan-1-imine oxide



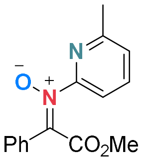
^{1}H NMR (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.28 – 8.05 (m, 3H), 7.77 (s, 1H), 7.52 – 7.41 (m, 3H), 7.22 (d, *J* = 5.1 Hz, 1H), 3.74 (s, 3H), 2.46 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 164.8, 158.2, 151.3, 146.1, 138.4, 130.8, 129.5, 129.1, 128.3, 126.7, 118.7, 52.8, 21.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{15}H_{15}N_2O_3^+$: 271.1077; Found: 271.1064.

(*E*)-2-Methoxy-*N*-(4-methylpyridin-2-yl)-2-oxo-1-phenylethan-1-imine oxide



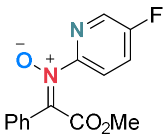
^{1}H NMR (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.21 – 8.06 (m, 3H), 7.86 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.51 – 7.41 (m, 3H), 3.76 (s, 3H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 164.9, 156.0, 146.4, 139.8, 136.0, 130.8, 129.6, 129.1, 128.3, 117.6, 52.8, 18.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{15}H_{15}N_2O_3^+$: 271.1077; Found: 271.1080.

(E)-2-Methoxy-N-(6-methylpyridin-2-yl)-2-oxo-1-phenylethan-1-imine oxide



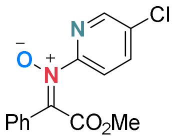
^{1}H NMR (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.14 (d, *J* = 5.8 Hz, 2H), 7.85 – 7.77 (m, 2H), 7.47 (d, *J* = 7.1 Hz, 3H), 7.28 (d, *J* = 7.5 Hz, 1H), 3.78 (s, 3H), 2.54 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 164.9, 157.3, 155.9, 139.5, 130.9, 130.1, 129.6, 129.1, 128.3, 125.3, 115.0, 52.6, 23.7. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{15}H_{15}N_2O_3^+$: 271.1077; Found: 271.1070.

(*E*)-*N*-(5-Fluoropyridin-2-yl)-2-methoxy-2-oxo-1-phenylethan-1-imine oxide



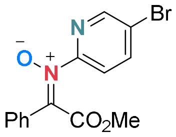
^{1}H NMR (600 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.20 (s, 1H), 8.12 (d, *J* = 6.0 Hz, 2H), 8.03 – 7.98 (m, 1H), 7.66 (t, *J* = 7.8 Hz, 1H), 7.48 (d, *J* = 7.2 Hz, 3H), 3.77 (s, 3H). **^{13}C NMR** (150 MHz, CDCl$_3$, 300 K): δ (ppm) = 164.6, 160.1 (d, *J* = 258.8 Hz), 154.0, 138.7, 134.3 (d, *J* = 26.7 Hz), 131.1, 129.1 (d, *J* = 69.5 Hz), 129.1, 128.4, 126.4 (d, *J* = 20.2 Hz), 120.2 (d, *J* = 5.7 Hz), 52.9. **^{19}F NMR** (565 MHz, CDCl$_3$, 300 K): δ (ppm) = -122.88. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{14}H_{12}FN_2O_3^+$: 275.0826; Found: 275.0819.

(*E*)-*N*-(5-Chloropyridin-2-yl)-2-methoxy-2-oxo-1-phenylethan-1-imine oxide



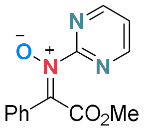
^{1}H NMR (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.54 (d, *J* = 2.5 Hz, 1H), 8.27 – 8.23 (m, 1H), 8.05 – 8.00 (m, 2H), 7.91 (d, *J* = 8.6 Hz, 1H), 7.52 – 7.47 (m, 3H), 3.65 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 164.3, 156.7, 146.2, 140.7, 138.5, 133.6, 131.7, 129.4, 129.0, 120.2, 53.7. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{14}H_{12}ClN_2O_3^+$: 291.0531; Found: 291.0527.

(*E*)-*N*-(5-Bromopyridin-2-yl)-2-methoxy-2-oxo-1-phenylethan-1-imine oxide



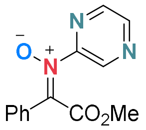
^{1}H NMR (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.62 (d, *J* = 2.3 Hz, 1H), 8.36 (dd, *J* = 8.6, 2.3 Hz, 1H), 8.06 – 7.99 (m, 2H), 7.84 (d, *J* = 8.6 Hz, 1H), 7.50 (dd, *J* = 5.3, 1.9 Hz, 3H), 3.65 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 164.3, 157.1, 148.4, 143.5, 138.4, 131.7, 129.4, 129.0, 122.7, 120.5, 53.7. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{14}H_{12}BrN_2O_3^+$: 335.0026; Found: 335.0020.

(*E*)-2-Methoxy-2-oxo-1-phenyl-N-(pyrimidin-2-yl)ethan-1-imine oxide



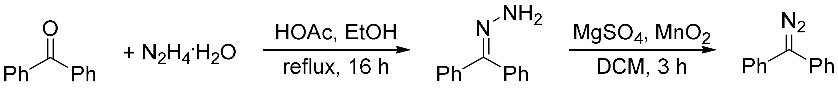
^{1}H NMR (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.96 (d, *J* = 4.8 Hz, 2H), 7.87 (d, *J* = 6.2 Hz, 2H), 7.73 (t, *J* = 4.9 Hz, 1H), 7.51 – 7.48 (m, 3H), 3.54 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 163.4, 162.7, 160.4, 138.2, 131.3, 129.9, 129.1, 128.9, 123.5, 53.7. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{13}H_{12}N_3O_3^+$: 258.0873; Found: 258.0869.

(*E*)-2-Methoxy-2-oxo-1-phenyl-N-(pyrazin-2-yl)ethan-1-imine oxide



^{1}H NMR (600 MHz, DMSO-d_6, 300 K): δ (ppm) 9.15 (s, 1H), 8.88 (d, *J* = 2.5 Hz, 1H), 8.57 (s, 1H), 8.04 – 7.98 (m, 2H), 7.51 (d, *J* = 3.5 Hz, 3H), 3.63 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 163.9, 154.5, 147.2, 142.2, 140.8, 139.6, 131.8, 129.6, 129.4, 129.0, 53.8. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{13}H_{12}N_3O_3^+$: 258.0873; Found: 258.0870.

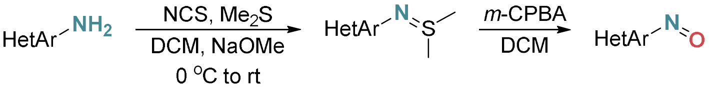
The aryl/aryl diazoalkanes are prepared by the following procedure according to literature reports.[4,5]



Step 1: Hydrazine monohydrate (150 mmol) was added to benzophenone (15 mmol) in ethanol (30 mL). Then HOAc (0.25 mL) was added and the mixture was heated at reflux for 16 h. Aftercooling to room temperature, hydrazones precipitated as white needle-shaped crystals. Filtrationof the crude mixture gave pure benzophenone hydrazone as a solid.

Step 2: Benzophenone hydrazone (10 mmol), anhydrous $MgSO_4$ (13 mmol), and 25 mL DCM was cooled to 0 °C. To this rapidly stirring mixture was added activated MnO_2 (50 mmol) in one portion. The reaction mixture was warmed to room temperature and kept stirring for 3 h, then the solid was filtered off and washed with DCM. After removal of the solvent under reduced pressure, the residue was purified by silica gel (pretreated with Et_3N and PE (Et_3N/PE = 1:10) with PE/Et_3N = 20:1 as eluent to afford aryl/aryl diazoalkanes which was store at -20 °C.

The nitroso compounds are prepared by the literature reports.[6-9]

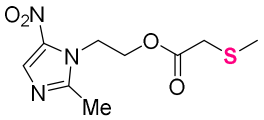


To an ice cooled solution of amine (0.10 mol) and dimethyl sulfide (8.0 mL, 0.11 mol) in 100 mL of DCM was added dropwise, over a period of 1 h, a solution of *N*-chlorosuccimide (13.3 g, 0.10 mol) in 250 mL of DCM. After the addition was complete, the solution was stirred at 0 °C for an hour and then for an additional hour at room temperature. A solution of sodium methoxide in methanol (9.52 g, 0.17 mol, 30 wt% in 33 mL of MeOH) was then added, the mixture stirred for 10 min, 100 mL of water was added, and stirring continued for 4 h. The organic layer was separated, and the aqueous layer was extracted with 50 mL of DCM. The combined organic layers were washed with 50 mL of water, dried (Na_2SO_4) and filtered. The filtrate was concentrated under reduced pressure and residue product was used for the next reaction without further purification.

To an iced cooled solution of *m*-chloroperbenzoic acid (78%, 28.7 g, 0.13 mol) in 200 mL of dry DCM was added dropwise a solution of sulfilimine in 100 mL of DCM. The mixture was stirred at 0 °C for 90 min, dimethyl sulfide (4.0 mL, 0.055 mol) added, and stirring continued for an additional 1h at room temperature. A saturated solution of sodium carbonate (250 mL) was added and the layers were separated. The green organic layer was washed with water and dried (Na_2SO_4) and filtered. The filtrate was concentrated under reduced pressure and the residue was recrystallized from diethyl ether to desired products.

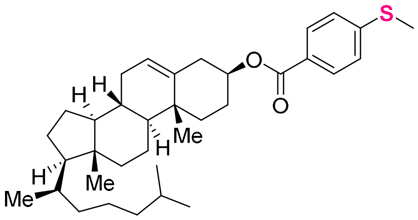
The thioether was synthesized through a simple esterification reaction according to the previous reports. The spectral data of the unreported starting material are listed below.[10,11]

2-(2-Methyl-5-nitro-1*H*-imidazol-1-yl)ethyl 2-(methylsulfinyl)acetate



^{1}H NMR (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.96 (s, 1H), 4.65 – 4.59 (m, 2H), 4.49 (t, *J* = 5.2 Hz, 2H), 3.15 (s, 2H), 2.55 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 169.5, 151.0, 138.4, 133.2, 63.1, 44.9, 35.3, 16.2, 14.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{14}N_3O_4S^+$: 267.0700; Found: 267.0710.

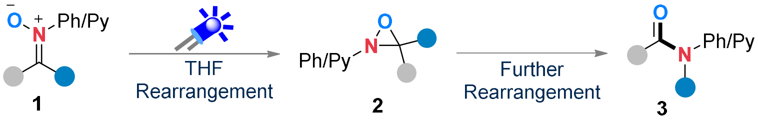
(3*S*,8*S*,9*S*,10*R*,13*R*,14*S*,17*R*)-10,13-Dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1*H*-cyclopenta[*a*]phenanthren-3-yl 4-(methylthio)benzoate

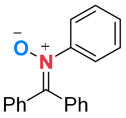


^{1}H NMR (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.93 (d, *J* = 8.5 Hz, 2H), 7.24 (d, *J* = 8.5 Hz, 2H), 5.41 (d, *J* = 4.5 Hz, 1H), 4.89 – 4.78 (m, 1H), 2.51 (s, 3H), 2.45 (d, *J* = 8.1 Hz, 2H), 2.04 – 1.68 (m, 6H), 1.56 – 1.41 (m, 5H), 1.40 – 1.19 (m, 6H), 1.18 – 0.96 (m, 12H), 0.92 (d, *J* = 6.5 Hz, 3H), 0.89 – 0.84 (m, 6H), 0.69 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 165.8, 145.1, 139.7, 129.9, 127.0, 124.9, 122.8, 74.5, 56.7, 56.1, 50.0, 42.3, 39.7, 39.5, 38.2, 37.0, 36.6, 36.2, 35.8, 31.9, 31.9, 28.2, 28.0, 27.9, 24.3, 23.8, 22.8, 22.6, 21.0, 19.4, 18.7, 14.9, 11.9. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{35}H_{53}O_2S^+$: 537.3761; Found: 537.3766. **Mp**:183-184 °C.

5. The condition optimization

Table S1: photochemical rearrangement of *N*-aryl/heteroaryl nitrones

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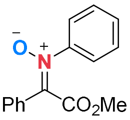
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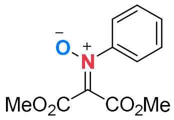
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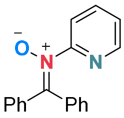
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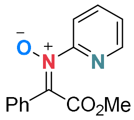
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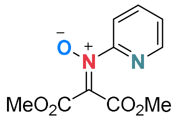
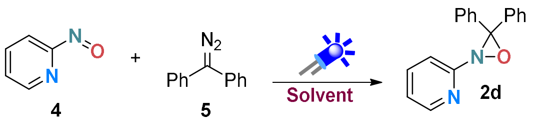

1f

Entry[a]	Nitrone	Yield of **2** (%)[b]	Yield of **3** (%)[b]
1	**1a**	0	34
2	**1b**	0	81
3	**1c**	Nitrone **1c** decomposition	
4	**1d**	94	0
5	**1e**	Nitrone **1e** decomposition	
6	**1f**	Nitrone **1f** decomposition	

[a] The reaction was carried out using compound **1** (0.1 mmol) in dry THF (1.0 mL) at room temperature, under 24 W blue LED irradiation for 4 hours. [b] Isolated yield. All reactions did not proceed under dark conditions.

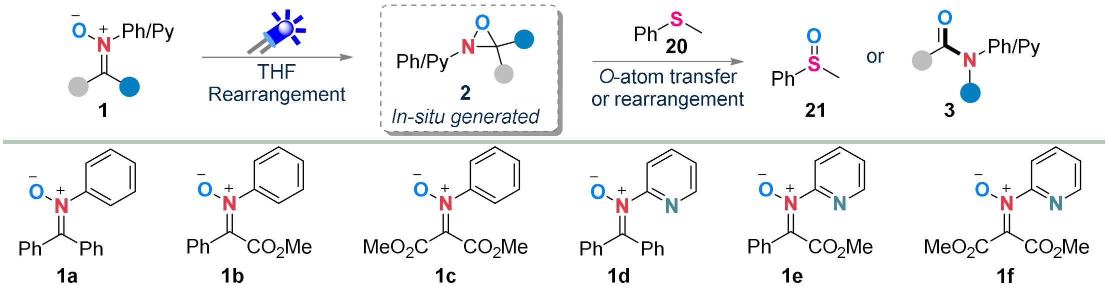
Table S2: condition optimization of oxaziridines



Entry[a]	Solvent	Yield of **2d** (%)[b]
1	DCM	62
2	THF	91
3	MeCN	74
4	EA	79
5	DMF	77
6	DMSO	78

[a] The reaction was conducted with compounds **4** (0.1 mmol) and compounds **5** (0.15 mmol) in dry solvent (1.0 mL) at room temperature, under 24 W blue LED irradiation for 12 hours. [b] Isolated yield. All reactions did not proceed under dark conditions.

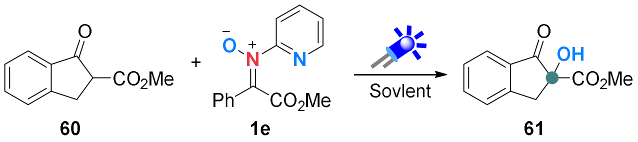
Table S3: optimization of the oxygen atom transfer reaction



Entry[a]	Nitrone	Yield of **2** (%)[b]	Yield of **21** (%)[b]	Yield of **3** (%)[b]
1	**1a**	0	0	15
2	**1b**	0	14	49
3	**1c**	0	33	0
4	**1d**	94	0	0
5[c]	**1e**	0	97	0
6	**1f**	**0**	43	0

[a] The reaction was conducted with compound **1** (0.15 mmol) and compound **20** (0.1 mmol) in dry THF (1.0 mL) at room temperature, under 24 W blue LED irradiation for 12 hours. [b] Isolated yield. [c] 4 h. All reactions did not proceed under dark conditions.

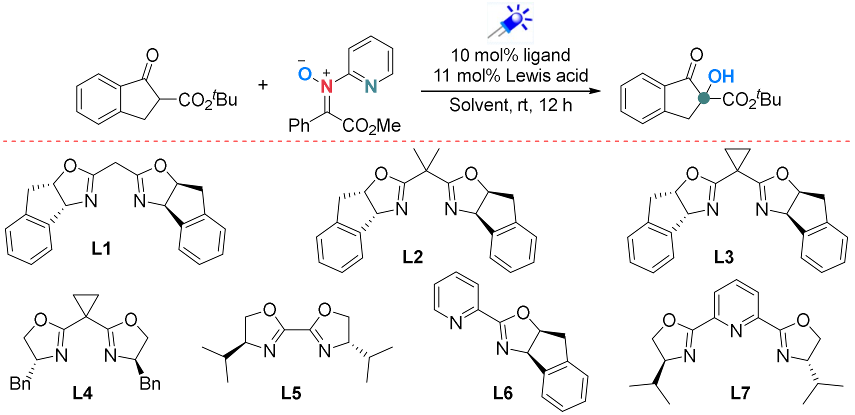
Table S4: optimization of hydroxylation reaction



Entry[a]	Solvent	Yield of **61** (%)[b]
1	DCM	64
2	BTF	67
3	EA	51
4	THF	96
5	CH_3CN	23

[a] The reaction was conducted with *β*-keto ester **60** (0.1 mmol) and nitrone **1e** (0.12 mmol) in dry THF (1.0 mL) at room temperature under 24 W blue LED irradiation for 10 hours. [b] Isolated yield. All reactions did not proceed under dark conditions.

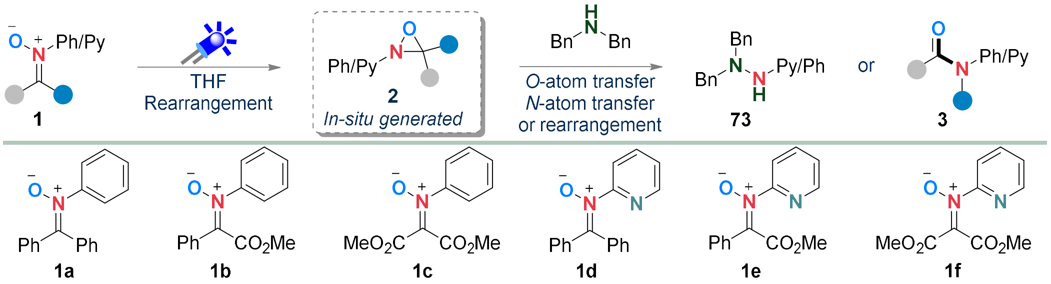
Table S5. optimization of asymmetric hydroxylation reaction



Entry[a]	Solvent	Lewis acid	Ligand	Yield (%)[b]	ee (%)[c]
1	THF	-	-	96	-
2	THF	$Zn(OAc)_2$	L1	92	7
3	THF	$Zn(OTf)_2$	L1	88	-
4	THF	$Ni(acac)_2$	L1	94	27
5	DCM	$Ni(acac)_2$	L1	Trace	-
6	BTF	$Ni(acac)_2$	L1	91	25
7	EA	$Ni(acac)_2$	L1	89	39
8	CH_3CN	$Ni(acac)_2$	L1	Trace	-
9	Toluene	$Ni(acac)_2$	L1	87	31
10	EA	$Ni(acac)_2$	L2	90	71
11	EA	$Ni(acac)_2$	L3	91	76
12	EA	$Ni(acac)_2$	L4	89	59
13	EA	$Ni(acac)_2$	L5	92	7
14	EA	$Ni(acac)_2$	L6	94	14
15	EA	$Ni(acac)_2$	L7	77	-

[a] The reaction was conducted with *β*-keto ester (0.1 mmol), nitrone (0.12 mmol), ligand (0.01 mmol), $Ni(acac)_2$ (0.11 mmol) in solvent (1.0 mL) at room temperature under 24 W blue LED irradiation for 10 hours. [b] Isolated yields. [c] Determined by chiral HPLC analysis.

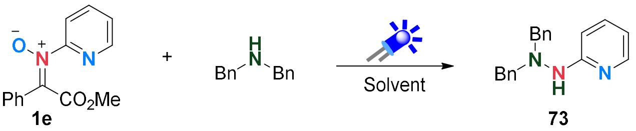
Table S6: nitrogen atom transfer reaction optimization.



Entry[a]	Nitrone	Yield of **2** (%)[b]	Yield of **73** (%)[b]	Yield of **3** (%)[b]
1	**1a**	0	0	27
2	**1b**	0	0	44
3	**1c**	Nitrone **1c** decomposition		
4	**1d**	88	0	0
5	**1e**	0	74	0
6	**1f**	0	69	0

[a] The reaction was conducted with **1** (0.15 mmol), dibenzylamine (0.10 mmol) in dry THF (1.0 mL) at rt under irradiation with 24 W blue LEDs for 12 h. [b] Isolated yield. All reactions do not occur under dark reaction conditions.

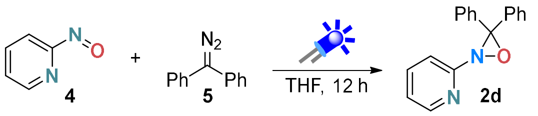
Table S7: the solvent optimization of nitrogen atom transfer reaction



Entry[a]	Solvent	Yield of **75** (%)[b]
1	DCM	66
2	BTF	49
3	EA	61
4	THF	74
5	CH_3CN	56
6	DMF	23

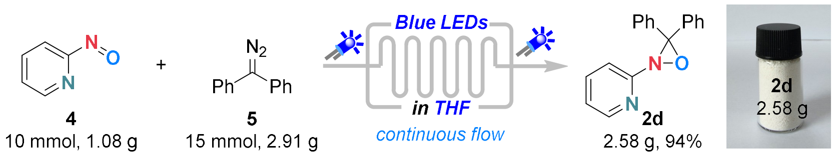
[a] The reaction was conducted with **60** (0.1 mmol), **2f** (0.15 mmol) in dry solvent (1.0 mL) at rt under irradiation with 24 W blue LEDs for 2 h. [b] Isolated yield.

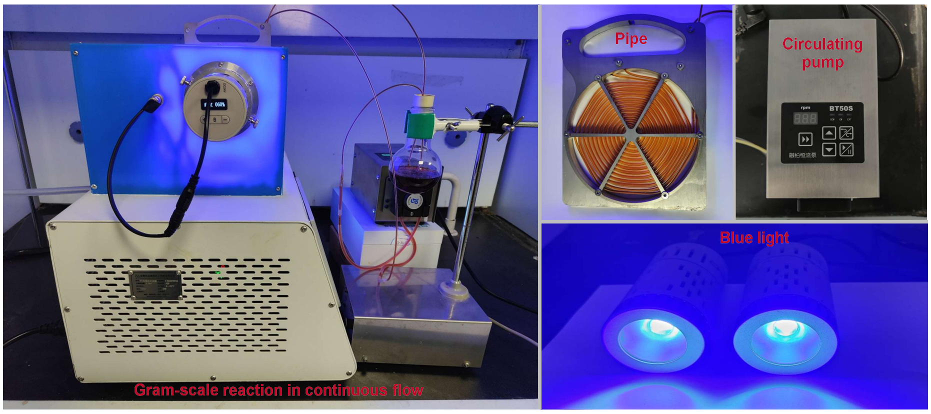
6. General procedure and spectral data of oxaziridines



General procedure (*GP1*): To a 10 mL Schlenk flask equipped with a magnetic stir bar was added 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.), (diazomethylene)dibenzene (29.1 mg, 0.15 mmol, 1.5 equiv.), dry THF (1.0 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 12 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant:

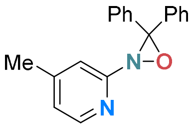
petroleum ether/ethyl acetate (10:1~5:1) to provide pure product as a yellow solid in 91% yield (25.0 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.17 (d, J = 4.8 Hz, 1H), 7.62 (d, J = 7.2 Hz, 2H), 7.46 – 7.34 (m, 4H), 7.31 (d, J = 6.4 Hz, 2H), 7.15 (d, J = 7.1 Hz, 3H), 6.98 (d, J = 8.1 Hz, 1H), 6.85 – 6.81 (m, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 161.0, 147.7, 137.3, 137.2, 132.8, 129.6, 128.9, 128.8, 128.2, 127.4, 120.2, 114.6, 88.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{15}N_2O^+$: 275.1179; Found: 275.1167.





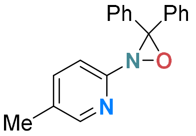
2-Nitrosopyridine (1.08 g, 10.0 mmol, 1.0 equiv.) and (diazomethylene)dibenzene (2.91 g, 15.0 mmol, 1.5 equiv.) in dry THF (50 mL) was pushed *via* circulating pump to pass through the flow photoreactor (PFA tubing, O.D. 2.0 mm, I.D. 1.0 mm, 6.5 meters, purchased from Anhui Kexin Microflow Chemical Technology Go. LTD) under irradiation with two 455 nm blue light (24 W*2) equipped with a fan cooling. The flow rate is 15 mL/min and the flow protocol were recirculated. After 12 h, the solvent was removed by vacuum and the crude product were purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate = 20:1 to provide pure product **2d** as a white solid in 94% yield (2.58 g).

2-(4-Methylpyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (6)



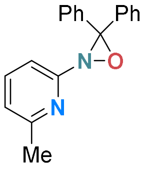
According to *GP1* with nitroso compounds (12.2 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 88% yield (25.4 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 7.97 (d, J = 5.0 Hz, 1H), 7.50 – 7.46 (m, J = 7.6, 2.4 Hz, 2H), 7.43 (d, J = 6.7 Hz, 3H), 7.22 – 7.16 (m, 5H), 6.82 (s, 1H), 6.77 (d, J = 4.9 Hz, 1H), 2.14 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 160.8, 149.3, 147.9, 137.9, 133.0, 130.2, 129.6, 129.0, 128.7, 128.1, 122.2, 115.3, 88.1, 21.0. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{17}N_2O^+$: 289.1335; Found: 289.1345.

2-(5-Methylpyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (7)



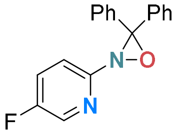
According to *GP1* with nitroso compounds (12.2 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 90% yield (25.9 mg). **^{1}H NMR** (400 MHz, DMSO-d_6, 300 K): δ (ppm) = 7.97 (s, 1H), 7.48 – 7.31 (m, 6H), 7.19 (s, 5H), 6.86 (d, *J* = 8.2 Hz, 1H), 2.07 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6, 300 K): δ (ppm) = 158.5, 148.2, 138.8, 138.0, 133.1, 130.2, 130.2, 129.6, 129.0, 128.7, 128.2, 126.8, 114.3, 88.2, 17.7. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{17}N_2O^+$: 289.1335; Found: 289.1336.

2-(6-Methylpyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (8)



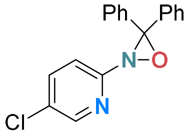
According to *GP1* with nitroso compounds (12.2 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 83% yield (23.9 mg). **^{1}H NMR** (400 MHz, DMSO-d_6, 300 K): δ (ppm) = 7.51 – 7.37 (m, 6H), 7.18 (s, 5H), 6.83 – 6.68 (m, 2H), 2.23 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6, 300 K): δ (ppm) = 160.2, 156.9, 138.5, 137.9, 133.0, 130.3, 129.5, 129.0, 129.0, 128.7, 128.1, 120.4, 88.1, 24.1. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{17}N_2O^+$: 289.1335; Found: 289.1328.

2-(5-Fluoropyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (9)



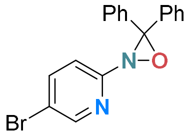
According to *GP1* with nitroso compounds (12.6 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 95% yield (27.7 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.17 (d, *J* = 3.0 Hz, 1H), 7.55 – 7.40 (m, 6H), 7.20 (s, 5H), 7.07 – 7.03 (m, 1H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 157.2 (d, *J* = 248.5 Hz), 157.0, 137.6, 135.9 (d, *J* = 25.3 Hz), 132.7, 130.4, 129.7, 129.0, 129.0, 128.7, 128.3, 125.5 (d, *J* = 20.0 Hz), 116.3 (d, *J* = 5.0 Hz), 88.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{14}FN_2O^+$: 293.1085; Found: 293.1075.

2-(5-Chloropyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (10)



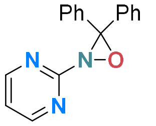
According to *GP1* with nitroso compounds (14.2 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 87% yield (26.8 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.22 (d, *J* = 2.5 Hz, 1H), 7.71 (dd, *J* = 8.7, 2.5 Hz, 1H), 7.50 – 7.41 (m, 5H), 7.22 (d, *J* = 8.3 Hz, 5H), 7.04 (d, *J* = 8.6 Hz, 1H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 159.5, 146.7, 138.2, 137.4, 132.6, 130.4, 129.9, 129.1, 128.9, 128.7, 128.4, 127.9, 116.5, 88.6. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{14}ClN_2O^+$: 309.0789; Found: 309.0788.

2-(5-Bromopyridin-2-yl)-3,3-diphenyl-1,2-oxaziridine (11)



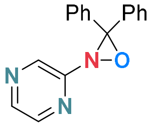
According to *GP1* with nitroso compounds (18.6 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 88% yield (31.0 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.29 (d, *J* = 2.4 Hz, 1H), 7.86 – 7.80 (m, 1H), 7.50 – 7.41 (m, 5H), 7.22 (q, *J* = 5.2 Hz, 5H), 6.99 (d, *J* = 8.6 Hz, 1H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 159.8, 148.8, 140.9, 137.4, 132.6, 130.4, 129.9, 129.1, 128.9, 128.7, 128.4, 117.0, 116.5, 88.6. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{14}BrN_2O^+$: 353.0284; Found: 353.0280.

3,3-Diphenyl-2-(pyrimidin-2-yl)-1,2-oxaziridine (12)



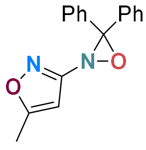
According to *GP1* with nitroso compounds (10.9 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 94% yield (25.9 mg). **^{1}H NMR** (600 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 8.49 (d, *J* = 4.8 Hz, 2H), 7.52 (d, *J* = 6.1 Hz, 2H), 7.46 (d, *J* = 7.1 Hz, 3H), 7.22 – 7.16 (m, 5H), 7.09 (t, *J* = 4.9 Hz, 1H). **^{13}C NMR** (150 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 165.5, 158.5, 137.2, 133.0, 130.4, 129.9, 129.1, 128.8, 128.7, 128.3, 119.1, 87.3. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{17}H_{14}N_3O^+$: 276.1131; Found: 276.1133.

3,3-Diphenyl-2-(pyrazin-2-yl)-1,2-oxaziridine (13)



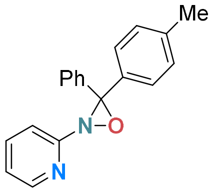
According to *GP1* with nitroso compounds (10.9 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 91% yield (25.0 mg). **^{1}H NMR** (600 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 8.37 (s, 1H), 8.23 (s, 2H), 7.54 – 7.50 (m, 2H), 7.45 (q, *J* = 7.4, 6.5 Hz, 3H), 7.25 – 7.20 (m, 5H). **^{13}C NMR** (150 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 156.8, 142.7, 142.1, 137.6, 137.0, 132.3, 130.6, 130.0, 129.1, 128.9, 128.8, 128.6, 88.7. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{17}H_{14}N_3O^+$: 276.1131; Found: 276.1129.

3-(3,3-Diphenyl-1,2-oxaziridin-2-yl)-5-methylisoxazole (14)



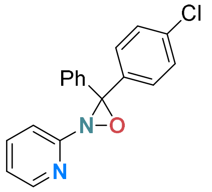
According to *GP1* with nitroso compounds (11.2 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (29.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 87% yield (24.2 mg). **^{1}H NMR** (600 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 7.42 (s, 5H), 7.32 (s, 5H), 5.96 (s, 1H), 2.13 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 170.9, 167.4, 136.9, 132.2, 130.5, 130.2, 129.1, 128.9, 128.7, 128.6, 97.5, 88.4, 12.5. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{17}H_{15}N_2O_2^+$: 279.1125; Found: 279.1130.

3-Phenyl-2-(pyridin-2-yl)-3-(p-tolyl)-1,2-oxaziridine (15)



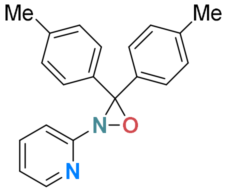
According to *GP1* with 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (31.2 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 84% yield (24.2 mg, d.r. = 1:1). **^{1}H NMR** (600 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 8.14 (dd, *J* = 13.3, 4.3 Hz, 1H), 7.60 – 7.53 (m, 1H), 7.47 (d, *J* = 7.4 Hz, 1H), 7.42 (d, *J* = 6.9 Hz, 1.5H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.23 (d, *J* = 7.9 Hz, 1H), 7.17 (d, *J* = 3.5 Hz, 2.5H), 7.07 (d, *J* = 8.0 Hz, 1H), 6.99 – 6.92 (m, 3H), 2.31 (s, 2H), 2.14 (s, 2H). **^{13}C NMR** (150 MHz, DMSO-*d*$_6$, 300 K): δ (ppm) = 160.9, 160.8, 148.3, 148.2, 139.9, 139.0, 138.4, 138.3, 138.1, 134.9, 133.1, 130.2, 130.1, 129.5, 129.0, 129.0, 128.9, 128.8, 128.7, 128.7, 128.1, 121.2, 121.2, 114.8, 114.8, 88.3, 88.2, 21.4, 21.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{17}N_2O^+$: 289.1335; Found: 289.1332.

3-(4-Chlorophenyl)-3-phenyl-2-(pyridin-2-yl)-1,2-oxaziridine (16)



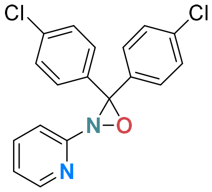
According to *GP1* with 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (34.2 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 91% yield (28.0 mg, d.r. = 1:1). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.15 (dd, *J* = 14.5, 4.8 Hz, 1H), 7.63 – 7.55 (m, 1H), 7.49 (d, *J* = 7.0 Hz, 3H), 7.44 (d, *J* = 7.4 Hz, 1.5H), 7.25 (d, *J* = 8.5 Hz, 1H), 7.23 – 7.17 (m, 3.5H), 7.02 – 6.93 (m, 2H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 160.6, 160.5, 148.4, 148.3, 138.6, 138.4, 137.3, 136.8, 135.2, 134.4, 132.4, 132.1, 130.9, 130.7, 130.5, 129.8, 129.2, 129.1, 129.0, 128.7, 128.3, 128.3, 121.5, 121.4, 114.9, 114.9, 87.7, 87.6. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{18}H_{14}ClN_2O^+$: 307.0789; Found: 309.0790.

2-(Pyridin-2-yl)-3,3-di-p-tolyl-1,2-oxaziridine (17)



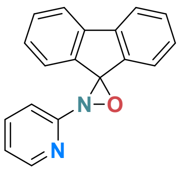
According to *GP1* with 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (33.3 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow oil 79% yield (23.9 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.14 (d, *J* = 5.4 Hz, 1H), 7.58 (q, *J* = 7.6 Hz, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.22 (d, *J* = 7.9 Hz, 2H), 7.06 (d, *J* = 7.9 Hz, 2H), 6.99 – 6.93 (m, 4H), 2.30 (s, 3H), 2.14 (s, 3H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 160.9, 148.2, 139.8, 138.9, 138.4, 135.2, 130.2, 129.5, 128.9, 128.7, 128.7, 121.2, 114.8, 88.3, 21.4, 21.2. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{20}H_{19}N_2O^+$: 303.1492; Found: 303.1487.

3,3-Bis(4-chlorophenyl)-2-(pyridin-2-yl)-1,2-oxaziridine (18)



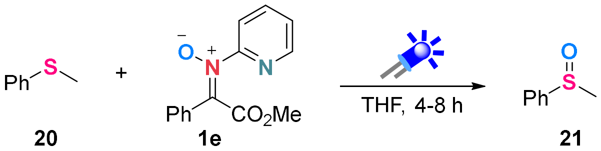
According to *GP1* with 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (39.3 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 92% yield (31.5 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.16 (d, *J* = 4.7 Hz, 1H), 7.62 (t, *J* = 7.8 Hz, 1H), 7.51 (s, 4H), 7.26 (d, *J* = 8.6 Hz, 2H), 7.21 (d, *J* = 8.5 Hz, 2H), 7.00 (d, *J* = 8.0 Hz, 2H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 160.3, 148.4, 138.7, 136.2, 135.3, 134.6, 131.5, 130.9, 130.7, 129.3, 128.4, 121.6, 115.0, 87.1. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{18}H_{13}Cl_2N_2O^+$: 343.0399; Found: 343.0410.

3,3-Bis(4-chlorophenyl)-2-(pyridin-2-yl)-1,2-oxaziridine (19)



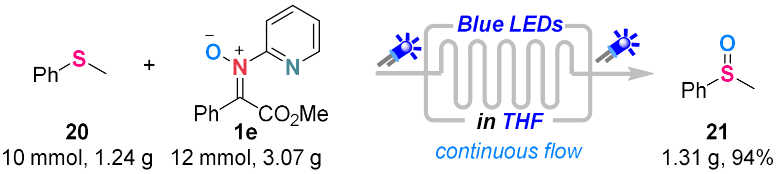
According to *GP1* with 2-nitrosopyridine (10.8 mg, 0.10 mmol, 1.0 equiv.) and aryl/aryl diazoalkanes (28.8 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 12 h. Purification by silica gel chromatography afforded the desired product as a yellow solid 94% yield (25.6 mg). **^{1}H NMR** (600 MHz, DMSO-d_6, 300 K): δ (ppm) = 8.26 (d, *J* = 4.7 Hz, 1H), 7.92 (t, *J* = 7.8 Hz, 1H), 7.86 (dd, *J* = 19.0, 7.6 Hz, 2H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.45 – 7.37 (m, 4H), 7.28 – 7.24 (m, 1H), 6.92 (t, *J* = 7.6 Hz, 1H), 5.87 (d, *J* = 7.7 Hz, 1H). **^{13}C NMR** (150 MHz, DMSO-d_6, 300 K): δ (ppm) = 161.5, 148.5, 142.5, 141.0, 139.2, 138.1, 134.7, 132.4, 132.1, 129.2, 128.1, 125.0, 124.7, 122.3, 121.9, 121.4, 115.3, 87.3. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{18}H_{13}N_2O^+$: 273.1022; Found: 273.1019.

7. General procedure and spectral data of O-atom transfer products



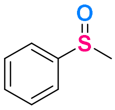
General procedure (*GP1*): To a 10 mL Schlenk flask equipped with a magnetic stir bar was added methyl(phenyl)sulfane (0.1 mmol), nitrone (0.12 mmol), dry THF (1.0 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 4 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (5:1~1:1) to provide pure product as a colorless oil in 99% yield (13.9 mg).

1.0 mmol procedure: To a 10 mL Schlenk flask equipped with a magnetic stir bar was added methyl(phenyl)sulfane (1 mmol), nitrone (1.2 mmol), dry THF (10 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 8 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (5:1~1:1) to provide pure product as a colorless oil in 94% yield (132 mg).



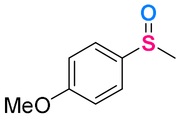
Methyl(phenyl)sulfane (1.24 g, 10.0 mmol, 1.0 equiv.) and nitrone **1e** (3.07 g, 12.0 mmol, 1.2 equiv.) in dry THF (50 mL) was pushed *via* circulating pump to pass through the flow photoreactor (PFA tubing, O.D. 2.0 mm, I.D. 1.0 mm, 6.5 meters, purchased from Anhui Kexin Microflow Chemical Technology Go. LTD) under irradiation with two 455 nm blue light (24 W*2) equipped with a fan cooling. The flow rate is 15 mL/min and the flow protocol were recirculated. After 12 h, the solvent was removed by vacuum and the crude product were purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate = 20:1 to provide pure product **21** as a colorless oil in 94% yield (1.31 g).

(Methylsulfinyl)benzene (21)[11]



According to *GP1* with thioether (12.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (13.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.69 – 7.62 (m, 2H), 7.56 – 7.48 (m, 3H), 2.73 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 145.7, 131.0, 129.3, 123.5, 43.9.

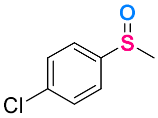
1-Methoxy-4-(methylsulfinyl)benzene (22)[11]



According to *GP1* with thioether (15.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield

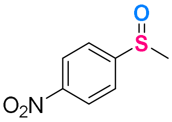
(16.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.64 – 7.55 (m, 2H), 7.06 – 7.02 (m, 2H), 3.86 (s, 3H), 2.70 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 162.0, 136.6, 125.4, 114.8, 55.5, 44.0.

1- Chloro-4-(methylsulfinyl)benzene (23) [11]



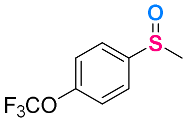
According to *GP1* with thioether (15.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (17.2 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.62 – 7.58 (m, 2H), 7.53 – 7.50 (m, 2H), 2.72 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 144.2, 137.2, 129.6, 124.9, 44.0.

1-(Methylsulfinyl)-4-nitrobenzene (24) [12]



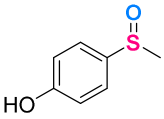
According to *GP1* with thioether (16.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 92% yield (17.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.43 – 8.38 (m, 2H), 7.87 – 7.83 (m, 2H), 2.80 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 153.2, 149.5, 124.6, 124.5, 43.8.

1-(Methylsulfinyl)-4-(trifluoromethoxy)benzene (25)



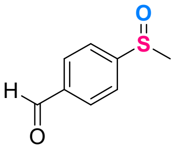
According to *GP1* with thioether (20.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 96% yield (21.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.71 (d, *J* = 9.5 Hz, 2H), 7.39 (d, *J* = 8.3 Hz, 2H), 2.75 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) =151.1, 144.1, 125.4, 121.7, 119.0, 44.0. **^{19}F NMR** (375 MHz, CDCl$_3$, 300 K): δ (ppm) = -57.7. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_8H_8F_3O_2S^+$: 225.0192; Found: 225.0200.

4-(Methylsulfinyl)phenol (26) [11]



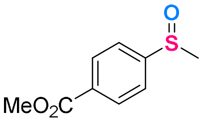
According to *GP1* with thioether (14.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 6 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 92% yield (14.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.52 – 7.48 (m, 2H), 6.99 – 6.95 (m, 2H), 2.76 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 160.6, 133.2, 126.1, 116.8, 43.1.

4-(Methylsulfinyl)benzaldehyde (27) [11]



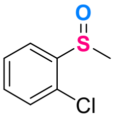
According to *GP1* with thioether (15.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 98% yield (16.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 10.10 (s, 1H), 8.07 – 8.03 (m, 2H), 7.86 – 7.79 (m, 2H), 2.78 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 191.1, 152.5, 138.1, 130.4, 124.2, 43.8.

Methyl 4-(methylsulfinyl)benzoate (28) [11]



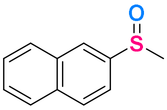
According to *GP1* with thioether (18.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 92% yield (18.3 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.23 – 8.17 (m, 2H), 7.76 – 7.69 (m, 2H), 3.96 (s, 3H), 2.76 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 166.0, 150.8, 132.6, 130.4, 123.5, 52.4, 43.8.

1-Chloro-2-(methylsulfinyl)benzene (29) [11]



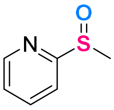
According to *GP1* with thioether (15.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 93% yield (16.2 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.96 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.57 – 7.52 (m, 1H), 7.48 – 7.38 (m, 2H), 2.83 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 143.6, 131.9, 129.7, 128.1, 125.3, 41.6.

2-(Methylsulfinyl)naphthalene (30) [11]



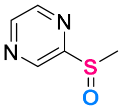
According to *GP1* with thioether (17.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 6 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 98% yield (18.7 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.22 (s, 1H), 8.00 – 7.89 (m, 3H), 7.63 – 7.56 (m, 3H), 2.79 (d, *J* = 1.3 Hz, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 142.7, 134.4, 132.9, 129.6, 128.5, 128.0, 127.8, 127.3, 124.0, 119.4, 43.8.

2-(Methylsulfinyl)pyridine (31) [10]



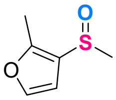
According to *GP1* with thioether (12.5 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 92% yield (13.0 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.66 – 8.59 (m, 1H), 8.06 – 8.01 (m, 1H), 7.98 – 7.92 (m, 1H), 7.41 – 7.36 (m, 1H), 2.86 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 149.6, 138.1, 124.6, 119.3, 41.3.

2-(Methylsulfinyl)pyrazine (32)



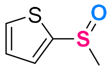
According to *GP1* with thioether (12.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 98% yield (14.0 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 9.24 (d, *J* = 1.4 Hz, 1H), 8.74 – 8.72 (m, 1H), 8.61 (dd, *J* = 2.4, 1.5 Hz, 1H), 2.94 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 161.6, 145.8, 143.7, 141.9, 41.0. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_5H_7N_2OS^+$: 143.0274; Found: 143.0279.

2-Methyl-3-(methylsulfinyl)furan (33) [12]



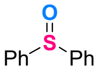
According to *GP1* with thioether (12.5 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 96% yield (13.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.42 – 7.37 (m, 1H), 6.74 – 6.68 (m, 1H), 2.80 (s, 3H), 2.46 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 154.0, 142.4, 123.7, 106.1, 40.9, 12.2.

2-(Methylsulfinyl)thiophene (34) [11]



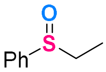
According to *GP1* with thioether (13.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (14.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.67 – 7.63 (m, 1H), 7.51 – 7.47 (m, 1H), 7.14 – 7.11 (m, 1H), 2.93 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 147.3, 130.8, 129.3, 127.4, 44.4.

Sulfinyldibenzene (35) [12]



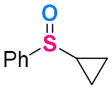
According to *GP1* with thioether (18.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 91% yield (18.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.70 – 7.60 (m, 4H), 7.48 – 7.39 (m, 6H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 145.5, 131.0, 129.2, 124.7.

(Ethylsulfinyl)benzene (36) [11]



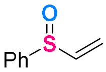
According to *GP1* with thioether (13.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 93% yield (14.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.65 – 7.57 (m, 2H), 7.56 – 7.46 (m, 3H), 2.95 – 2.86 (m, 1H), 2.82 – 2.71 (m, 1H), 1.20 (t, J = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 143.3, 130.9, 129.1, 124.2, 50.3, 5.9.

(Cyclopropylsulfinyl)benzene (37) [12]



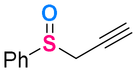
According to *GP1* with thioether (15.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 6 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (16.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.71 – 7.64 (m, 2H), 7.55 – 7.47 (m, 3H), 2.36 – 2.14 (m, 1H), 1.27 – 1.21 (m, 1H), 1.07 – 0.90 (m, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 144.9, 130.9, 129.1, 124.0, 33.8, 3.4, 2.7.

(Vinylsulfinyl)benzene (38) [13]



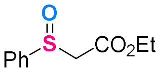
According to *GP1* with thioether (13.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 96% yield (14.7 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.63 (d, J = 4.6 Hz, 2H), 7.51 (d, J = 5.4 Hz, 3H), 6.68 – 6.52 (m, 1H), 6.20 (d, J = 16.4 Hz, 1H), 5.89 (d, J = 9.9 Hz, 1H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 143.2, 142.9, 131.2, 129.4, 124.6, 120.7.

(Prop-2-yn-1-ylsulfinyl)benzene (39) [13]



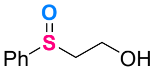
According to *GP1* with thioether (14.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (16.3 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.76 – 7.70 (m, 2H), 7.57 – 7.53 (m, 3H), 3.71 – 3.60 (m, 2H), 2.35 (t, *J* = 2.7 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 142.8, 131.7, 129.1, 124.4, 76.4, 72.7, 47.8.

Ethyl 2-(phenylsulfinyl)acetate (40) [14]



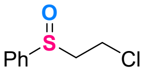
According to *GP1* with thioether (19.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 94% yield (20.0 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.73 – 7.67 (m, 2H), 7.58 – 7.51 (m, 3H), 4.20 – 4.10 (m, 2H), 3.85 (d, *J* = 13.6 Hz, 1H), 3.67 (d, *J* = 13.6 Hz, 1H), 1.22 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 164.7, 143.1, 131.7, 129.4, 124.2, 62.0, 61.7, 14.0.

2-(Phenylsulfinyl)ethanol (41) [12]



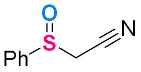
According to *GP1* with thioether (15.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 97% yield (16.6 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.72 – 7.59 (m, 2H), 7.59 – 7.49 (m, 3H), 4.22 – 4.13 (m, 1H), 4.05 – 3.97 (m, 1H), 3.68 (s, 1H), 3.21 – 3.11 (m, 1H), 2.95 – 2.85 (m, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 143.0, 131.2, 129.4, 123.9, 58.4, 56.9.

((2-Chloroethyl)sulfinyl)benzene (42) [12]



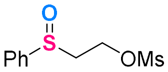
According to *GP1* with thioether (17.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 98% yield (18.5 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.65 (d, *J* = 7.1 Hz, 2H), 7.55 (d, *J* = 6.8 Hz, 3H), 4.02 – 3.93 (m, 1H), 3.71 – 3.61 (m, 1H), 3.23 – 3.12 (m, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 142.8, 131.4, 129.5, 123.9, 59.3, 36.6.

2-(Phenylsulfinyl)acetonitrile (43) [15]



According to *GP1* with thioether (14.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 96% yield (16.0 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.80 – 7.73 (m, 2H), 7.65 – 7.59 (m, 3H), 3.79 (d, *J* = 15.8 Hz, 1H), 3.67 (d, *J* = 15.7 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 141.4, 132.8, 129.7, 124.1, 111.0, 44.7.

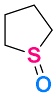
2-(Phenylsulfinyl)ethyl methanesulfonate (44)



According to *GP1* with thioether (23.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield

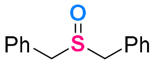
(24.7 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.67 – 7.63 (m, 2H), 7.59 – 7.53 (m, 3H), 4.70 – 4.62 (m, 1H), 4.56 – 4.49 (m, 1H), 3.29 – 3.20 (m, 1H), 3.11 – 3.06 (m, 1H), 3.05 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 142.8, 131.5, 129.5, 123.8, 62.0, 55.9, 37.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{13}O_4S_2^+$: 249.0250; Found: 249.0250.

Tetrahydrothiophene 1-oxide (45) [16]



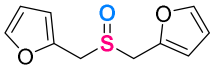
According to *GP1* with thioether (8.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (10.2 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 2.89 (t, *J* = 11.0 Hz, 4H), 2.47 (d, *J* = 13.1 Hz, 2H), 2.03 (d, *J* = 10.7 Hz, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 54.4, 25.4.

(Sulfinylbis(methylene))dibenzene (46) [15]



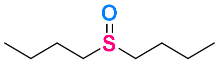
According to *GP1* with thioether (21.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 95% yield (21.9 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.41 – 7.33 (m, 6H), 7.32 – 7.26 (m, 4H), 3.96 – 3.83 (m, 4H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 130.1, 128.9, 128.3, 57.2.

2,2'-(Sulfinylbis(methylene))difuran (47)



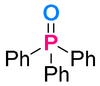
According to *GP1* with thioether (19.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 94% yield (19.8 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.50 – 7.40 (m, 2H), 6.49 – 6.45 (m, 2H), 6.44 – 6.39 (m, 2H), 4.08 (d, *J* = 14.1 Hz, 2H), 3.95 (d, *J* = 14.1 Hz, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 143.6, 111.7, 111.2, 49.5. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{10}H_{11}O_3S^+$: 211.0423; Found: 211.0425.

1-(Butylsulfinyl)butane (48) [11]



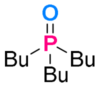
According to *GP1* with thioether (14.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 93% yield (15.2 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 2.83 – 2.46 (m, 4H), 1.81 – 1.70 (m, 4H), 1.56 – 1.41 (m, 4H), 0.97 (t, *J* = 7.3 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 52.1, 24.6, 22.0, 13.6.

Triphenylphosphine oxide (49) [17]



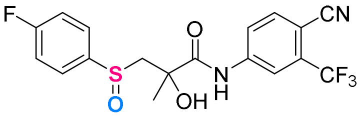
According to *GP2* with phosphorus (26.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a white solid in 98% yield (27.2 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.75 – 7.60 (m, 6H), 7.58 – 7.36 (m, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 133.0, 132.0, 131.9, 131.8, 131.8, 128.4, 128.3.

Tributylphosphine oxide (50) [17]



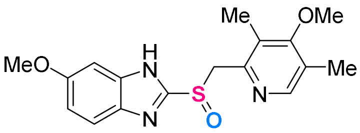
According to *GP2* with phosphorus (20.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 4 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 99% yield (21.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 1.72 – 1.38 (m, 18H), 0.99 – 0.89 (m, 9H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 28.0, 27.3, 24.3, 24.2, 23.8, 23.7, 13.6.

Bicalutamide Sulfoxide (51) [18]



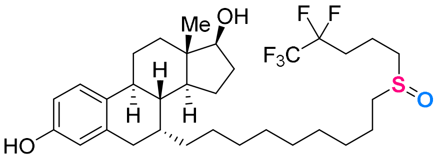
According to *GP1* with thioether (39.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 94% yield (39.0 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 9.07 (d, J = 10.3 Hz, 1H), 7.94 (t, J = 4.7 Hz, 1H), 7.76 (d, J = 1.3 Hz, 2H), 7.44 – 7.34 (m, 2H), 6.92 – 6.82 (m, 2H), 3.77 – 3.60 (m, 2H), 3.15 – 3.07 (m, 1H), 1.54 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 173.1 (t, J = 6.6 Hz), 162.3 (d, J = 249.7 Hz), 141.3 (d, J = 12.1 Hz), 135.7, 133.9 – 133.5 (m), 128.8, 123.4, 121.7, 120.7, 117.2, 116.2 (d, J = 22.2 Hz), 115.5, 104.3, 75.3 (t, J = 3.5 Hz), 45.7, 26.1.

Omeprazole (52) [19]



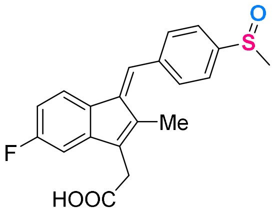
According to *GP1* with thioether (32.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 91% yield (31.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.19 (s, 1H), 7.72 – 6.72 (m, 3H), 4.82 – 4.70 (m, 2H), 3.82 (s, 3H), 3.58 (s, 3H), 2.20 (s, 3H), 2.10 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 164.3, 157.1, 151.1, 149.6, 148.7, 135.3, 126.9, 126.3, 120.7, 113.5, 94.5, 60.6, 59.7, 55.7, 13.2, 11.3.

Fulvestrant (53) [10]



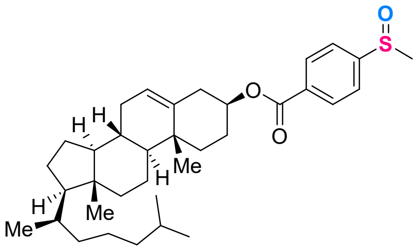
According to *GP1* with thioether (59.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 89% yield (54.0 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.13 (d, J = 8.4 Hz, 1H), 6.63 (d, J = 8.4 Hz, 1H), 6.56 (s, 1H), 3.74 (t, J = 8.4 Hz, 1H), 2.89 – 2.59 (m, 6H), 2.33 – 2.07 (m, 7H), 1.91 (d, J = 12.2 Hz, 1H), 1.79 – 1.70 (m, 3H), 1.66 – 1.59 (m, 2H), 1.48 – 1.18 (m, 20H), 0.78 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 154.0 (d, J = 9.9 Hz), 136.9 (d, J = 5.8 Hz), 131.2 (d, J = 14.1 Hz), 127.0, 116.1 (d, J = 4.3 Hz), 113.0, 82.0, 52.5, 50.9 (d, J = 3.7 Hz), 46.5, 43.4, 42.1, 38.3 (d, J = 3.7 Hz), 36.9, 34.7 (d, J = 10.2 Hz), 33.3 (d, J = 11.8 Hz), 30.6, 29.8, 29.6, 30.0 – 28.0 (m), 27.3, 27.2, 27.2, 25.0 (d, J = 12.9 Hz), 22.6, 22.6, 14.7, 11.1.

Sulindac (54) [10]



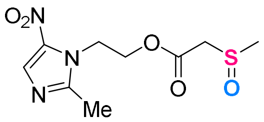
According to *GP1* with thioether (34.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 88% yield (31.6 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.71 (d, J = 8.3 Hz, 2H), 7.63 (d, J = 8.1 Hz, 2H), 7.14 – 7.09 (m, 2H), 6.91 – 6.86 (m, 1H), 6.57 – 6.50 (m, 1H), 3.58 (s, 2H), 2.83 (s, 3H), 2.20 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 174.6, 163.3 (d, J = 245.3 Hz), 146.6 (d, J = 8.7 Hz), 144.7, 141.6, 139.8, 138.4, 131.5, 130.3, 129.4 (d, J = 2.9 Hz), 128.2, 124.0, 123.6 (d, J = 8.8 Hz), 110.8 (d, J = 22.6 Hz), 106.1 (d, J = 23.7 Hz), 43.5, 31.4, 10.5.

(3*S*,8*S*,9*S*,10*R*,13*R*,14*S*,17*R*)-10,13-Dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1*H*-cyclopenta[*a*]phenanthren-3-yl 4-(methylsulfinyl)benzoate (55)



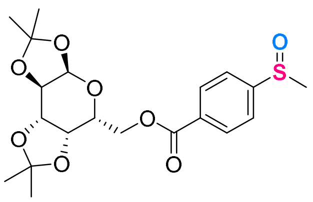
According to *GP1* with thioether (53.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 97% yield (53.6 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.21 – 8.17 (m, 2H), 7.73 – 7.69 (m, 2H), 5.43 (d, J = 5.1 Hz, 1H), 4.94 – 4.83 (m, 1H), 2.75 (s, 3H), 2.48 (d, J = 6.2 Hz, 2H), 2.09 – 1.69 (m, 7H), 1.59 (q, J = 9.8 Hz, 2H), 1.53 – 1.46 (m, 3H), 1.43 – 1.24 (m, 5H), 1.23 – 1.11 (m, 5H), 1.08 (s, 4H), 1.04 – 0.95 (m, 3H), 0.92 (d, J = 6.6 Hz, 3H), 0.88 (d, J = 1.8 Hz, 3H), 0.86 (d, J = 1.8 Hz, 3H), 0.69 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 164.9, 150.6, 139.4, 133.3, 130.4, 123.4, 123.0, 75.2, 56.7, 56.1, 50.0, 43.9, 42.3, 39.7, 39.5, 38.1, 37.0, 36.6, 36.2, 35.8, 31.9, 31.8, 28.2, 28.0, 27.8, 24.3, 23.8, 22.8, 22.5, 21.0, 19.3, 18.7, 11.8. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{35}H_{53}O_3S^+$: 553.3710; Found: 553.3702.

2-(2-Methyl-5-nitro-1*H*-imidazol-1-yl)ethyl 4-(methylsulfinyl)benzoate (56)



According to *GP1* with thioether (25.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 94% yield (25.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.97 (s, 1H), 4.69 – 4.51 (m, 4H), 3.72 – 3.56 (m, 2H), 2.71 (s, 3H), 2.55 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 164.5, 151.0, 133.3, 63.9, 57.1, 44.8, 39.3, 14.4. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_9H_{14}N_3O_5S^+$: 276.0649; Found: 276.0647.

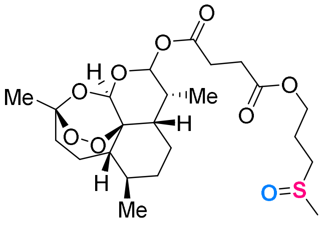
((3*aR*,5*R*,5*aS*,8*aS*,8*bR*)-2,2,7,7-Tetramethyltetrahydro-3*aH*-bis([1,3]dioxolo)[4,5-b:4',5'-d]pyran-5-yl)methyl 4-(methylsulfinyl)benzoate (57) [11]



According to *GP1* with thioether (41.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 90% yield (38.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.21 (d, J = 8.3 Hz, 2H), 7.72 (d, J

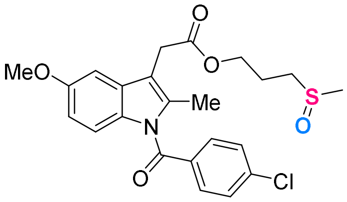
= 8.3 Hz, 2H), 5.57 (d, *J* = 5.0 Hz, 1H), 4.67 – 4.64 (m, 1H), 4.60 – 4.52 (m, 1H), 4.51 – 4.43 (m, 1H), 4.39 – 4.31 (m, 2H), 4.23 – 4.17 (m, 1H), 2.76 (s, 3H), 1.50 (d, *J* = 13.9 Hz, 6H), 1.35 (d, *J* = 9.0 Hz, 6H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 165.3, 150.9, 132.5, 130.5, 123.4, 109.7, 108.8, 96.2, 71.0, 70.7, 70.4, 66.0, 64.4, 43.8, 25.9, 25.9, 24.9, 24.4. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{20}H_{27}O_8S^+$: 427.1421; Found: 427.1418.

3-(Methylsulfinyl)propyl ((3*R*,5*aS*,6*R*,8*aS*,9*R*,12*R*,12*aR*)-3,6,9-trimethyldecahydro-3*H*-3,12-epoxy[1,2]dioxepino[4,3-i]isochromen-10-yl) succinate (58) [10]

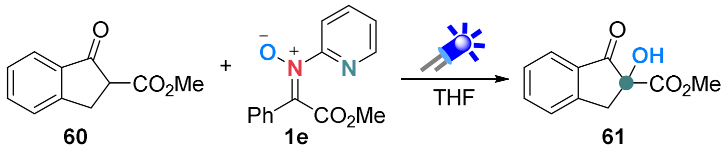


According to *GP1* with thioether (47.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 86% yield (42.0 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 5.78 (d, *J* = 9.8 Hz, 1H), 5.43 (s, 1H), 4.33 – 4.19 (m, 2H), 2.82 – 2.72 (m, 4H), 2.70 – 2.52 (m, 6H), 2.42 – 2.32 (m, 1H), 2.20 – 2.10 (m, 2H), 2.06 – 2.00 (m, 1H), 1.93 – 1.87 (m, 1H), 1.81 – 1.70 (m, 2H), 1.66 – 1.58 (m, 1H), 1.56 – 1.45 (m, 1H), 1.43 (s, 3H), 1.39 – 1.25 (m, 3H), 1.07 – 0.95 (m, 4H), 0.86 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 171.9, 171.1, 104.4, 92.2, 91.5, 80.1, 63.0, 62.9, 51.5, 51.0, 45.1, 38.6, 37.2, 36.1, 34.0, 31.7, 29.1, 28.8, 25.9, 24.5, 22.1, 21.9, 20.1, 12.0.

3-(Methylsulfinyl)propyl 2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)acetate (59) [11]



According to *GP1* with thioether (44.5 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 96% yield (44.3 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.71 – 7.62 (m, 2H), 7.53 – 7.45 (m, 2H), 6.95 (d, *J* = 2.5 Hz, 1H), 6.88 (d, *J* = 9.0 Hz, 1H), 6.71 – 6.30 (m, 1H), 4.30 – 4.19 (m, 2H), 3.83 (s, 3H), 3.68 (s, 2H), 2.65 – 2.52 (m, 2H), 2.46 (s, 3H), 2.39 (s, 3H), 2.16 – 2.05 (m, 2H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 170.6, 168.3, 156.0, 139.4, 136.0, 133.7, 131.2, 130.8, 130.5, 129.1, 115.0, 112.3, 111.5, 101.4, 63.2, 55.7, 51.0, 38.6, 30.3, 22.2, 13.3. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{23}H_{25}ClNO_5S^+$: 462.1136; Found: 462.1132.

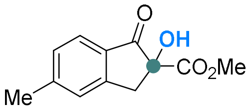


General procedure (*GP3*): To a 10 mL Schlenk flask equipped with a magnetic stir bar was added *β*-keto ester (0.1 mmol), nitrone (0.12 mmol), dry THF (1.0 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 10 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (5:1~1:1) to provide pure product as a white solid in 96% yield (19.8 mg).[11] **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.81 (d, *J* = 7.1

Hz, 1H), 7.71 – 7.65 (m, 1H), 7.52 – 7.48 (m, 1H), 7.46 – 7.41 (m, 1H), 3.74 (s, 4H), 3.26 (d, *J* = 17.3 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 200.8, 171.9, 152.2, 136.2, 133.5, 128.2, 126.5, 125.3, 80.4, 53.5, 39.2.

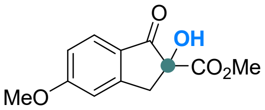
1.0 mmol procedure: To a 10 mL Schlenk flask equipped with a magnetic stir bar was added *β*-keto ester (1 mmol), nitrone (1.2 mmol), dry THF (10 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 12 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate to provide pure product as a white solid in 88% yield (182 mg).

Methyl 2-hydroxy-5-methyl-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (62) [11]



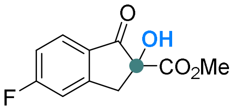
According to *GP3* with *β*-keto ester (20.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 97% yield (21.5 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.69 (d, *J* = 7.9 Hz, 1H), 7.30 – 7.22 (m, 2H), 3.98 (s, 1H), 3.73 (s, 3H), 3.68 (d, *J* = 17.5 Hz, 1H), 3.20 (d, *J* = 17.2 Hz, 1H), 2.47 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 200.2, 172.0, 152.7, 147.8, 131.2, 129.5, 126.8, 125.2, 80.6, 53.4, 39.1, 22.2.

Methyl 2-hydroxy-5-methoxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (63) [11]



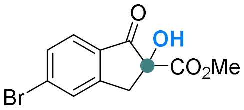
According to *GP3* with *β*-keto ester (22.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 87% yield (20.6 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.73 (d, *J* = 8.4 Hz, 1H), 6.98 – 6.90 (m, 2H), 4.07 (s, 1H), 3.91 (s, 3H), 3.74 (s, 3H), 3.68 (d, *J* = 17.4 Hz, 1H), 3.20 (d, *J* = 17.2 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 198.7, 172.1, 166.4, 155.3, 127.1, 126.5, 116.3, 109.6, 80.7, 55.8, 53.3, 39.2.

Methyl 5-fluoro-2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (64) [11]



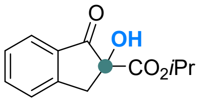
According to *GP3* with *β*-keto ester (20.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 91% yield (20.5 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.82 (t, *J* = 7.2 Hz, 1H), 7.21 – 7.09 (m, 2H), 4.14 (s, 1H), 3.73 (d, *J* = 19.3 Hz, 4H), 3.25 (d, *J* = 17.4 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 198.9, 171.6, 167.9 (d, *J* = 257.7 Hz), 155.2 (d, *J* = 10.5 Hz), 129.9, 127.7 (d, *J* = 10.7 Hz), 116.6 (d, *J* = 23.7 Hz), 113.3 (d, *J* = 22.6 Hz), 80.5, 53.5, 39.1.

Methyl 5-bromo-2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (65) [11]



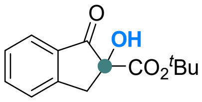
According to *GP3* with *β*-keto ester (26.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 94% yield (26.8 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.68 (d, *J* = 6.3 Hz, 2H), 7.58 (d, *J* = 8.4 Hz, 1H), 4.06 (s, 1H), 3.71 (d, *J* = 25.4 Hz, 4H), 3.24 (d, *J* = 17.4 Hz, 1H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 199.6, 171.5, 153.6, 132.4, 131.9, 131.8, 129.8, 126.4, 80.3, 53.6, 38.9.

Isopropyl 2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (66) [11]



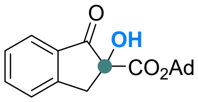
According to *GP3* with *β*-keto ester (21.8 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 91% yield (21.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.80 (d, *J* = 7.7 Hz, 1H), 7.66 (t, *J* = 7.7 Hz, 1H), 7.51 – 7.39 (m, 2H), 5.15 – 4.99 (m, 1H), 4.07 (s, 1H), 3.70 (d, *J* = 17.2 Hz, 1H), 3.24 (d, *J* = 17.2 Hz, 1H), 1.20 (d, *J* = 5.4 Hz, 3H), 1.13 (d, *J* = 5.7 Hz, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 201.0, 171.0, 152.3, 136.0, 133.6, 128.0, 126.4, 125.2, 80.3, 70.8, 39.2, 21.5, 21.3.

***Tert*-butyl 2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (67)** [11]



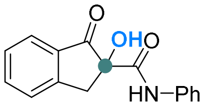
According to *GP3* with *β*-keto ester (23.2 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 88% yield (21.9 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.79 (d, *J* = 7.6 Hz, 1H), 7.66 (d, *J* = 7.5 Hz, 1H), 7.51 – 7.38 (m, 2H), 4.02 (s, 1H), 3.65 (d, *J* = 17.0 Hz, 1H), 3.22 (d, *J* = 17.1 Hz, 1H), 1.36 (s, 9H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 201.3, 170.5, 152.3, 135.8, 133.9, 127.9, 126.3, 125.0, 83.9, 80.5, 39.4, 27.7.

Adamantan-1-yl 2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (68) [11]



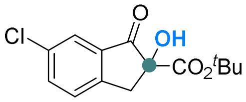
According to *GP3* with *β*-keto ester (31.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 94% yield (30.7 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.78 (d, *J* = 7.7 Hz, 1H), 7.63 (d, *J* = 7.3 Hz, 1H), 7.49 – 7.37 (m, 2H), 4.11 (s, 1H), 3.66 (d, *J* = 17.1 Hz, 1H), 3.22 (d, *J* = 17.1 Hz, 1H), 2.11 (s, 3H), 1.96 (s, 6H), 1.59 (s, 6H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 201.4, 170.1, 152.3, 135.7, 133.9, 127.8, 126.2, 124.9, 83.7, 80.4, 40.8, 39.5, 35.7, 30.7.

2-Hydroxy-1-oxo-N-phenyl-2,3-dihydro-1*H*-indene-2-carboxamide (69) [11]



According to *GP3* with *β*-keto ester (25.1 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 98% yield (26.2 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.80 (d, *J* = 7.7 Hz, 1H), 7.68 (t, *J* = 6.9 Hz, 1H), 7.54 – 7.49 (m, 3H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.30 (t, *J* = 7.9 Hz, 2H), 7.11 (t, *J* = 7.5 Hz, 1H), 3.97 (s, 1H), 3.86 (d, *J* = 16.8 Hz, 1H), 3.19 (d, *J* = 16.7 Hz, 1H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 203.1, 168.3, 153.0, 136.9, 136.5, 133.7, 129.0, 128.2, 126.4, 125.2, 124.8, 119.7, 82.7, 40.8.

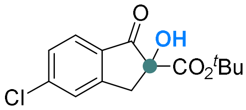
***Tert*-butyl 6-chloro-2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (70)** [11]



According to *GP3* with *β*-keto ester (26.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h.

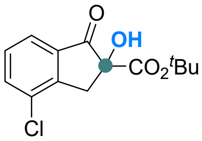
Purification by silica gel chromatography afforded the desired product as a white solid in 95% yield (26.9 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.75 (s, 1H), 7.64 – 7.58 (m, 1H), 7.43 (d, J = 8.2 Hz, 1H), 4.02 (s, 1H), 3.61 (d, J = 17.2 Hz, 1H), 3.18 (d, J = 17.2 Hz, 1H), 1.37 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 200.2, 170.1, 150.3, 135.8, 135.4, 134.3, 127.5, 124.7, 84.3, 80.8, 39.0, 27.7.

Tert-butyl 5-chloro-2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (71) [11]

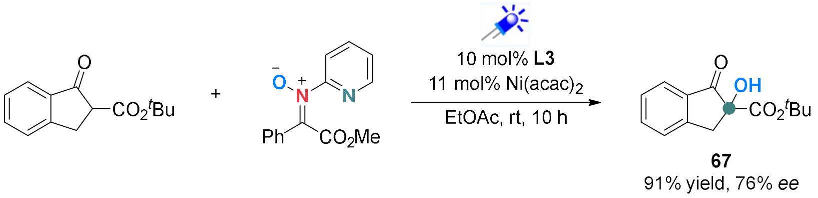


According to *GP3* with *β*-keto ester (26.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 96% yield (27.1 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.72 (d, J = 8.1 Hz, 1H), 7.48 (s, 1H), 7.40 (d, J = 8.2 Hz, 1H), 4.05 (s, 1H), 3.62 (d, J = 17.4 Hz, 1H), 3.20 (d, J = 17.3 Hz, 1H), 1.37 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 199.9, 170.1, 153.7, 142.4, 132.4, 128.8, 126.5, 126.1, 84.2, 80.5, 39.1, 27.7.

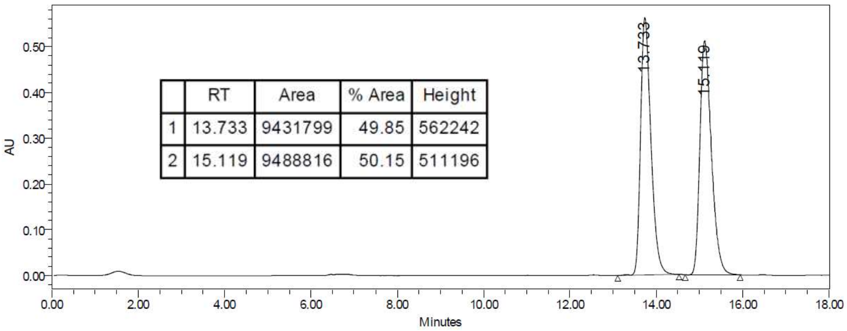
Tert-butyl 4-chloro-2-hydroxy-1-oxo-2,3-dihydro-1*H*-indene-2-carboxylate (72) [11]



According to *GP3* with *β*-keto ester (26.6 mg, 0.10 mmol, 1.0 equiv.) and nitrone (30.7 mg, 0.12 mmol, 1.2 equiv.) in 1.0 mL THF for 10 h. Purification by silica gel chromatography afforded the desired product as a white solid in 93% yield (26.4 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.74 – 7.62 (m, 2H), 7.44 – 7.36 (m, 1H), 4.06 (s, 1H), 3.65 (d, J = 17.6 Hz, 1H), 3.18 (d, J = 17.8 Hz, 1H), 1.38 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 200.6, 170.1, 149.9, 135.8, 135.4, 132.5, 129.5, 123.2, 84.4, 80.2, 38.5, 27.7.



To a 10 mL Schlenk flask equipped with a magnetic stir bar was added *β*-keto ester (0.1 mmol), nitrone (0.15 mmol), **L3** (0.01 mmol), $Ni(acac)_2$ (0.11 mmol) and dry THF (1.0 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 w blue LED at room temperature for 10 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate to provide pure product **67** as a white solid (91% yield, 76% ee). **HPLC:** Chiralpak OD-H column, *n*-hexane/*i*-PrOH = 95:5, 0.8 mL/min; 254 nm, 25 °C, t_R = 13.8 [minor], t_R = 15.1 [major] min.[20]



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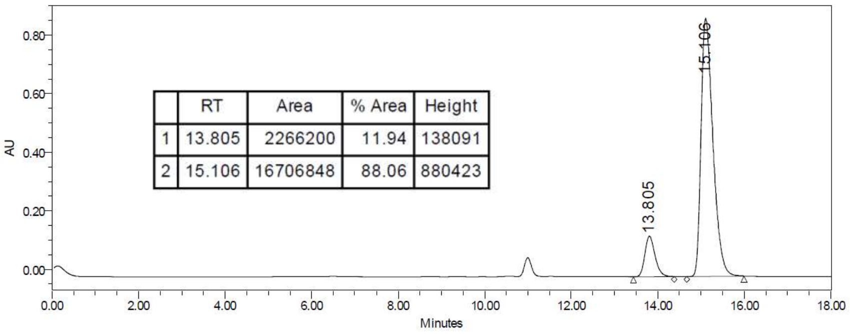

	RT	Area	% Area	Height
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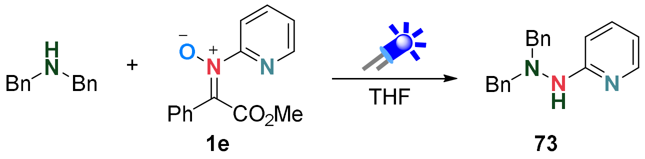
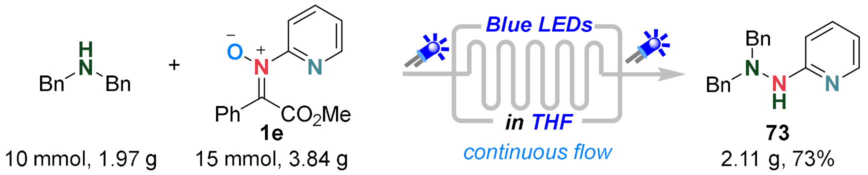
2	15.106	16706848	88.06	880423

8. General procedure and spectral data of *N*-atom transfer products

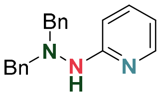


General procedure (*GP4*): To a 10 mL Schlenk flask equipped with a magnetic stir bar was added amine (19.7 mg, 0.10 mmol, 1.0 equiv.), nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) and dry THF (1.0 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 W blue LED at room temperature for 8 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate (10:1~3:1) to provide pure product **73** as a yellow solid in 74% yield (21.3 mg).



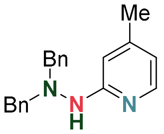
Dibenzylamine (1.97 g, 10.0 mmol, 1.0 equiv.) and nitrone **1e** (3.07 g, 12.0 mmol, 1.2 equiv.) in dry THF (50 mL) was pushed *via* circulating pump to pass through the flow photoreactor (PFA tubing, O.D. 2.0 mm, I.D. 1.0 mm, 6.5 meters, purchased from Anhui Kexin Microflow Chemical Technology Go. LTD) under irradiation with two 455 nm blue light (24 W*2) equipped with a fan cooling. The flow rate is 15 mL/min and the flow protocol were recirculated. After 12 h, the solvent was removed by vacuum and the crude product were purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate = 20:1 to provide pure product **73** as a yellow solid in 73% yield (2.11 g).

2-(2,2-Dibenzylhydrazinyl)pyridine (73)



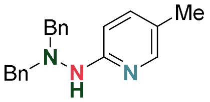
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 74% yield (21.4 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 7.97 (d, J = 5.0 Hz, 1H), 7.45 – 7.40 (m, 1H), 7.36 – 7.26 (m, 8H), 7.23 (t, J = 7.0 Hz, 2H), 7.04 (d, J = 8.4 Hz, 1H), 6.59 – 6.54 (m, 1H), 5.73 (s, 1H), 3.83 (s, 4H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 159.7, 147.4, 137.7, 136.5, 129.4, 128.3, 127.4, 114.4, 107.3, 60.6. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{19}H_{20}N_3^+$: 290.1652; Found: 290.1650. **Mp**: 101-103 °C.

2-(2,2-Dibenzylhydrazineyl)-4-methylpyridine (74)



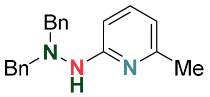
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (40.5 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 72% yield (21.8 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.81 (d, *J* = 5.2 Hz, 1H), 7.37 – 7.31 (m, 8H), 7.25 (d, *J* = 8.3 Hz, 2H), 6.86 (s, 1H), 6.43 (d, *J* = 3.7 Hz, 1H), 5.86 (s, 1H), 3.85 (s, 4H), 2.25 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.3, 149.5, 146.0, 136.4, 129.5, 128.3, 127.5, 115.9, 108.0, 60.6, 21.5. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{20}H_{22}N_3^+$: 304.1808; Found: 304.1801.

2-(2,2-Dibenzylhydrazineyl)-5-methylpyridine (75)



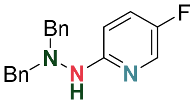
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (40.5 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 66% yield (20.0 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.38 – 7.28 (m, 9H), 7.24 (t, *J* = 7.3 Hz, 2H), 6.85 (d, *J* = 8.7 Hz, 2H), 6.39 (d, *J* = 7.3 Hz, 1H), 3.89 (s, 4H), 2.37 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 157.9, 139.9, 136.3, 129.6, 128.4, 127.6, 113.1, 105.5, 61.3, 22.1. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{20}H_{22}N_3^+$: 304.1808; Found: 304.1795.

2-(2,2-Dibenzylhydrazineyl)-6-methylpyridine (76)



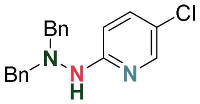
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (40.5 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 65% yield (196.7 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.62 (s, 1H), 7.35 – 7.21 (m, 11H), 6.96 (s, 1H), 6.79 (s, 1H), 3.87 (s, 4H), 2.11 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 156.5, 141.9, 140.8, 129.6, 128.4, 127.5, 122.9, 108.6, 61.2, 17.3. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{20}H_{22}N_3^+$: 304.1808; Found: 304.1791.

2-(2,2-Dibenzylhydrazineyl)-5-fluoropyridine (77)



According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (41.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 67% yield (20.6 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.82 (d, *J* = 2.9 Hz, 1H), 7.35 – 7.30 (m, 8H), 7.27 – 7.24 (m, 2H), 7.22 – 7.17 (m, 1H), 7.00 – 6.96 (m, 1H), 5.70 (s, 1H), 3.85 (s, 4H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 156.3, 153.9 (d, *J* = 241.5 Hz), 136.3, 133.4 (d, *J* = 25.4 Hz), 129.5, 128.4, 127.5, 125.7 (d, *J* = 20.0 Hz), 108.3 (d, *J* = 4.1 Hz), 61.0. **^{19}F NMR** (565 MHz, $CDCl_3$, 300 K): δ (ppm) = -141.20 – -141.26 (m).**HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{19}FN_3^+$: 308.1558; Found: 308.1546.

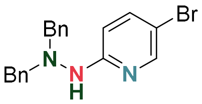
5-Chloro-2-(2,2-dibenzylhydrazineyl)pyridine (78)



According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (46.2 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 83%

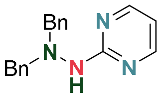
yield (26.8 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.84 (d, *J* = 2.5 Hz, 1H), 7.37 – 7.29 (m, 9H), 7.26 (d, *J* = 5.7 Hz, 2H), 6.94 (d, *J* = 9.0 Hz, 1H), 6.19 (s, 1H), 3.87 (s, 4H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 157.6, 143.8, 138.3, 136.0, 129.6, 128.4, 127.7, 120.7, 108.8, 61.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{19}ClN_3^+$: 324.1262; Found: 324.1249.

5-Bromo-2-(2,2-dibenzylhydrazineyl)pyridine (79)



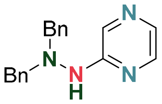
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (50.1 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 78% yield (28.6 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 7.96 (s, 1H), 7.47 (d, *J* = 8.9 Hz, 1H), 7.32 (d, *J* = 6.5 Hz, 8H), 7.27 (d, *J* = 7.8 Hz, 2H), 6.91 (d, *J* = 8.9 Hz, 1H), 5.81 (s, 1H), 3.85 (s, 4H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 158.2, 147.4, 140.3, 136.1, 129.5, 128.4, 127.6, 108.9, 108.2, 61.0. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{19}H_{19}BrN_3^+$: 368.0757; Found: 368.0744.

2-(2,2-Dibenzylhydrazineyl)pyrimidine (80)



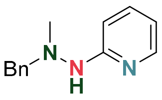
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.6 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 84% yield (24.4 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.29 (s, 2H), 7.39 (d, *J* = 7.5 Hz, 4H), 7.30 (t, *J* = 7.5 Hz, 4H), 7.24 (d, *J* = 7.3 Hz, 2H), 6.56 (t, *J* = 4.8 Hz, 1H), 6.22 (s, 1H), 4.07 (s, 4H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 157.9, 136.0, 129.7, 128.3, 127.5, 111.7, 60.3. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{19}N_4^+$: 291.1604; Found: 291.1593.

2-(2,2-Dibenzylhydrazineyl)pyrazine (81)



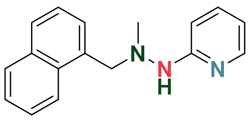
According to *GP4* with amine (19.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.6 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 79% yield (22.9 mg). **^{1}H NMR** (600 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.41 (s, 1H), 7.75 (d, *J* = 3.0 Hz, 1H), 7.66 (s, 1H), 7.37 (d, *J* = 7.4 Hz, 4H), 7.30 (t, *J* = 7.5 Hz, 4H), 7.24 (d, *J* = 7.5 Hz, 2H), 6.96 (s, 1H), 3.96 (s, 4H). **^{13}C NMR** (150 MHz, $CDCl_3$, 300 K): δ (ppm) = 153.2, 136.0, 135.5, 133.9, 133.1, 129.7, 128.6, 128.0, 62.0. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{18}H_{19}N_4^+$: 291.1604; Found: 291.1593.

2-(2-Benzyl-2-methylhydrazinyl)pyridine (82)



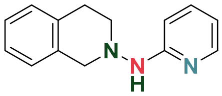
According to *GP4* with amine (12.1 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 79% yield (16.9 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.06 – 8.02 (m, 1H), 7.52 – 7.48 (m, 1H), 7.36 – 7.24 (m, 5H), 7.06 – 7.02 (m, 1H), 6.66 – 6.61 (m, 1H), 5.40 (s, 1H), 3.83 (s, 2H), 2.51 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.6, 147.8, 137.9, 136.5, 129.4, 128.3, 127.4, 114.7, 107.3, 64.2, 44.7. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{13}H_{16}N_3^+$: 214.1339; Found: 214.1338.

2-(2-Methyl-2-(naphthalen-1-ylmethyl)hydrazinyl)pyridine (83)



According to *GP4* with amine (17.1 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 87% yield (25.6 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.31 (d, *J* = 8.4 Hz, 1H), 8.04 – 8.00 (m, 1H), 7.86 – 7.82 (m, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.35 (m, 5H), 6.91 – 6.86 (m, 1H), 6.61 – 6.56 (m, 1H), 5.51 (s, 1H), 4.27 (s, 2H), 2.55 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.8, 147.6, 137.8, 133.8, 132.9, 132.4, 128.5, 128.4, 128.1, 125.9, 125.6, 125.2, 124.5, 114.6, 107.4, 62.9, 45.3. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{17}H_{18}N_3^+$: 264.1495; Found: 264.1502. **Mp**:111-112 °C.

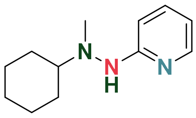
2-(2-Benzyl-2-methylhydrazinyl)pyridine (84)



According to *GP4* with amine (13.3 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 72% yield (16.3 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.10 – 8.05 (m, 1H), 7.52 – 7.46 (m, 1H), 7.18 – 7.12 (m, 3H), 7.07 – 7.00 (m, 2H), 6.68 – 6.64 (m, 1H), 5.82 (d, *J* = 25.9 Hz, 1H), 3.98 (s, 2H), 3.14 – 3.01 (m, 4H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.6, 147.8, 138.0, 133.8, 133.3, 128.5, 126.8, 126.5, 125.9, 114.8, 107.4, 58.1, 53.5, 29.0. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{14}H_{16}N_3^+$: 226.1339; Found: 226.1339. **Mp**:109-110 °C.

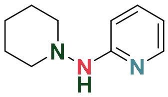
1.0 mmol procedure: To a 10 mL Schlenk flask equipped with a magnetic stir bar was added amine (1 mmol), nitrone (1.5 mmol) and dry THF (10 mL). The resulting mixture was stirred at a distance of ~3 cm from a 24 w blue LED at room temperature for 5 h. The solvent was removed by vacuum and the crude product was purified by flash chromatography on silica gel silica: 200~300; eluant: petroleum ether/ethyl acetate to provide pure product as a yellow solid in 68% yield (153 mg).

2-(2-Cyclohexyl-2-methylhydrazinyl)pyridine (85)



According to *GP4* with amine (11.3 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 69% yield (14.1 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.03 – 8.00 (m, 1H), 7.49 – 7.43 (m, 1H), 6.98 – 6.94 (m, 1H), 6.62 – 6.57 (m, 1H), 5.38 (s, 1H), 2.54 (s, 3H), 2.49 – 2.41 (m, 1H), 1.95 – 1.87 (m, 2H), 1.80 – 1.73 (m, 2H), 1.63 – 1.57 (m, 1H), 1.32 – 1.12 (m, 5H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 160.8, 147.6, 137.8, 114.0, 107.0, 65.3, 42.3, 26.0, 25.2. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{10}H_{16}N_3^+$: 206.1652; Found: 206.1649.

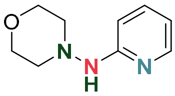
N-(Piperidin-1-yl)pyridin-2-amine (86)



According to *GP4* with amine (8.5 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 70% yield (12.2

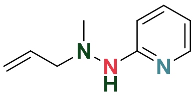
mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.07 – 8.01 (m, 1H), 7.53 – 7.46 (m, 1H), 6.99 (d, *J* = 8.5 Hz, 1H), 6.66 – 6.00 (m, 1H), 5.43 (s, 1H), 2.69 (s, 4H), 1.69 (q, *J* = 5.7 Hz, 4H), 1.43 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.5, 147.5, 138.0, 114.4, 107.3, 57.4, 25.9, 23.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{14}N_3O^+$: 178.1339; Found: 178.1336.

_N_-(Pyridin-2-yl)morpholin-4-amine (87)



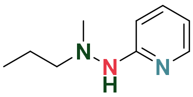
According to *GP4* with amine (8.7 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 69% yield (12.3 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.09 – 8.06 (m, 1H), 7.55 – 7.49 (m, 1H), 7.04 – 7.00 (m, 1H), 6.70 – 6.66 (m, 1H), 5.51 (s, 1H), 3.83 – 3.79 (m, 4H), 2.79 (t, *J* = 4.3 Hz, 4H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.1, 147.8, 138.1, 115.0, 107.3, 67.0, 56.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{17}H_{18}N_3^+$: 180.1131; Found: 180.1126. **Mp**:138-140 °C.

2-(2-Allyl-2-methylhydrazinyl)pyridine (88)



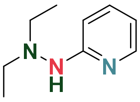
According to *GP4* with amine (7.1 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 66% yield (10.8 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.07 – 8.03 (m, 1H), 7.52 – 7.47 (m, 1H), 7.00 – 6.95 (m, 1H), 6.66 – 6.10 (m, 1H), 5.96 – 5.84 (m, 1H), 5.33 (s, 1H), 5.25 – 5.15 (m, 2H), 3.33 – 3.30 (m, 2H), 2.55 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.8, 147.8, 137.9, 133.4, 118.9, 114.6, 107.3, 62.9, 45.1. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{14}N_3^+$: 164.1182; Found: 164.1186.

2-(2-Methyl-2-propylhydrazinyl)pyridine (89)



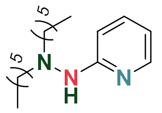
According to *GP4* with amine (7.3 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 61% yield (10.1 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.04 – 8.00 (m, 1H), 7.49 – 7.44 (m, 1H), 6.96 – 6.92 (m, 1H), 6.63 – 6.58 (m, 1H), 5.10 (s, 1H), 2.59 – 2.55 (m, 2H), 2.52 (s, 3H), 1.56 – 1.48 (m, 2H), 0.90 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 160.2, 147.7, 137.8, 114.3, 107.1, 62.5, 46.2, 20.4, 11.8. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{16}N_3^+$: 166.1339; Found: 166.1345.

2-(2,2-Diethylhydrazinyl)pyridine (90)



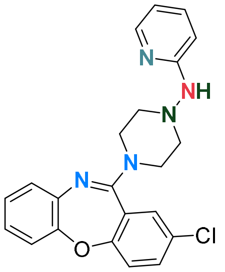
According to *GP4* with amine (7.3 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 57% yield (9.4 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.03 – 7.99 (m, 1H), 7.48 – 7.42 (m, 1H), 6.97 – 6.93 (m, 1H), 6.61 – 6.56 (m, 1H), 5.10 (s, 1H), 2.72 (s, 4H), 1.06 (t, *J* = 7.1 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 161.4, 147.5, 137.6, 113.8, 107.2, 52.9, 12.1. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{16}N_3^+$: 166.1339; Found: 166.1339.

2-(2,2-Dihexylhydrazinyl)pyridine (91)



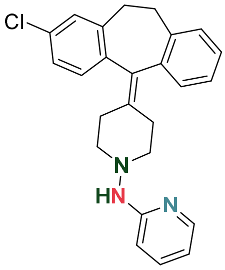
According to *GP4* with amine (18.5 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a colorless oil in 74% yield (20.4 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.02 – 7.98 (m, 1H), 7.48 – 7.42 (m, 1H), 6.93 (d, *J* = 8.4 Hz, 1H), 6.61 – 6.55 (m, 1H), 5.12 (s, 1H), 2.62 (s, 4H), 1.51 – 1.43 (m, 4H), 1.32 – 1.18 (m, 12H), 0.86 (t, *J* = 6.9 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 161.1, 147.5, 137.6, 113.8, 107.0, 59.3, 31.7, 27.1, 26.9, 22.6, 14.0. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_9H_{16}N_3^+$: 278.2591; Found: 278.2591.

4-(2-Chlorodibenzo[b,f][1,4]oxazepin-11-yl)-*N*-(pyridin-2-yl)piperazin-1-amine (92)



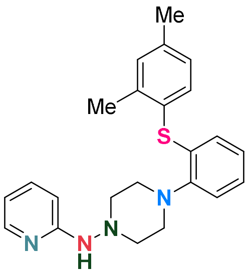
According to *GP4* with amoxapine (31.4 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 67% yield (27.1 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.09 (d, *J* = 3.3 Hz, 1H), 7.54 (t, *J* = 6.9 Hz, 1H), 7.42 – 7.37 (m, 1H), 7.33 (d, *J* = 2.6 Hz, 1H), 7.19 (d, *J* = 8.6 Hz, 1H), 7.17 – 7.08 (m, 3H), 7.07 – 6.98 (m, 2H), 6.71 – 6.67 (m, 1H), 5.56 (s, 1H), 3.64 (s, 4H), 2.87 (s, 4H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.3, 159.1, 158.7, 151.8, 147.9, 134.0, 138.1, 132.7, 130.3, 128.9, 127.1, 125.8, 124.9, 124.8, 122.8, 120.1, 115.1, 107.3, 55.5. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{22}H_{21}ClN_5O^+$: 406.1429; Found: 406.1435. **Mp**:185-186 °C.

N-(4-(2-Chloro-10,11-dihydro-5*H*-dibenzo[a,d][7]annulen-5-ylidene)piperidin-1-yl)pyridin-2-amine (93)



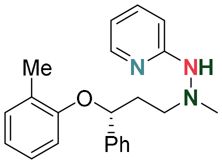
According to *GP4* with desloratadine (31.1 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a brown solid in 62% yield (24.9 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.41 (d, *J* = 4.7 Hz, 1H), 8.04 (d, *J* = 3.2 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.44 (s, 1H), 7.18 – 7.05 (m, 5H), 6.68 – 6.62 (m, 1H), 5.44 (s, 1H), 3.48 – 3.33 (m, 2H), 3.09 – 2.98 (m, 2H), 2.90 – 2.78 (m, 2H), 2.69 – 2.41 (m, 6H), 2.01 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 159.3, 157.3, 147.6, 146.7, 139.5, 138.2, 137.7, 137.4, 137.0, 133.7, 133.4, 132.9, 130.6, 129.0, 126.1, 122.2, 114.7, 107.4, 57.3, 31.8, 31.5, 30.6, 30.4. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{25}H_{25}ClN_3^+$: 402.1732; Found: 402.1741. **Mp**:186-187 °C.

4-(2-((2,4-Dimethylphenyl)thio)phenyl)-N-(pyridin-2-yl)piperazin-1-amine (94)



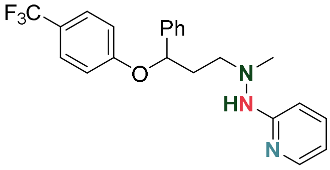
According to *GP4* with vortioxetine (29.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a white solid in 76% yield (29.8 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.09 (d, *J* = 5.0 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 7.8 Hz, 1H), 7.15 (s, 1H), 7.10 – 7.01 (m, 4H), 6.91 – 6.85 (m, 1H), 6.70 – 6.63 (m, 1H), 6.54 (d, *J* = 7.3 Hz, 1H), 5.50 (s, 1H), 3.22 (s, 4H), 2.99 (s, 4H), 2.36 (s, 3H), 2.32 (s, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 159.4, 148.8, 147.9, 142.3, 139.2, 138.0, 136.1, 134.5, 131.7, 127.8, 127.8, 126.3, 125.5, 124.5, 119.8, 114.8, 107.3, 56.2, 51.4, 21.2, 20.6. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{23}H_{27}N_4S^+$: 391.1951; Found: 391.1958. **Mp**:139-141 °C.

(*R*)-2-(2-Methyl-2-(3-phenyl-3-(o-tolyloxy)propyl)hydrazinyl)pyridine (95)



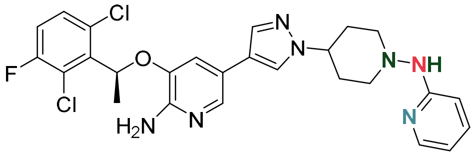
According to *GP4* with atomoxetine hydrochloride (29.2 mg, 0.10 mmol, 1.0 equiv.) , Et$_3$N (15.2 mg, 0.15 mmol, 1.5 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 79% yield (27.5 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.04 (d, *J* = 5.2 Hz, 1H), 7.41 (d, *J* = 7.4 Hz, 1H), 7.30 – 7.18 (m, 5H), 7.10 (d, *J* = 7.2 Hz, 1H), 6.98 – 6.88 (m, 2H), 6.76 (t, *J* = 7.4 Hz, 1H), 6.66 – 6.56 (m, 2H), 5.33 – 5.25 (m, 1H), 5.20 (d, *J* = 7.3 Hz, 1H), 2.97 – 2.84 (m, 1H), 2.81 – 2.69 (m, 1H), 2.54 (s, 3H), 2.28 (s, 3H), 2.24 – 2.16 (m, 1H), 2.13 – 2.03 (m, 1H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 160.0, 156.1, 147.7, 142.1, 138.0, 130.5, 128.5, 127.4, 127.0, 126.5, 125.7, 120.1, 114.5, 112.7, 107.0, 77.5, 56.6, 46.5, 36.6, 16.5. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{22}H_{26}N_3O^+$: 348.2070; Found: 348.2077.

2-(2-Methyl-2-(3-phenyl-3-(4-(trifluoromethyl)phenoxy)propyl)hydrazinyl)pyridine (96)



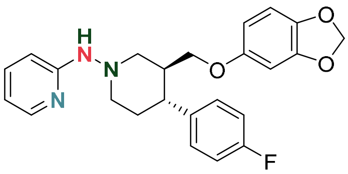
According to *GP4* with fluoxetine hydrochloride (34.6 mg, 0.10 mmol, 1.0 equiv.) , Et$_3$N (15.2 mg, 0.15 mmol, 1.5 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow oil in 73% yield (29.2 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.05 (d, *J* = 6.8 Hz, 1H), 7.50 – 7.38 (m, 3H), 7.32 – 7.21 (m, 5H), 6.94 – 6.84 (m, 3H), 6.70 – 6.61 (m, 1H), 5.38 – 5.30 (m, 1H), 5.16 (s, 1H), 2.94 – 2.81 (m, 1H), 2.78 – 2.69 (m, 1H), 2.55 (s, 3H), 2.28 – 2.14 (m, 1H), 2.14 – 2.02 (m, 1H), 1.90 (s, 1H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 160.7, 159.9, 147.8, 141.0, 138.1, 128.7, 127.8, 126.7 (q, *J* = 3.8 Hz), 125.7, 115.7, 114.7, 106.9, 78.2, 56.4, 46.6, 36.4. **^{19}F NMR** (375 MHz, CDCl$_3$, 300 K): δ (ppm) = -61.5. **HRMS** (ESI) m/z: [M+H]$^+$ Calculated for $C_{22}H_{23}F_3N_3O^+$: 402.1788; Found: 402.1794.

(*S*)-3-(1-(2,6-Dichloro-3-fluorophenyl)ethoxy)-5-(1-(1-(pyridin-2-ylamino)piperidin-4-yl)-1*H*-pyrazol-4-yl)pyridin-2-amine (97)



According to *GP4* with crizotinib (45.0 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a yellow solid in 61% yield (32.8 mg). **^{1}H NMR** (400 MHz, CDCl$_3$, 300 K): δ (ppm) = 8.07 (d, *J* = 5.1 Hz, 1H), 7.77 (d, *J* = 1.8 Hz, 1H), 7.59 – 7.50 (m, 3H), 7.32 (d, *J* = 4.8 Hz, 1H), 7.09 – 7.02 (m, 2H), 6.88 (d, *J* = 1.8 Hz, 1H), 6.72 – 6.65 (m, 1H), 6.08 (q, *J* = 6.7 Hz, 1H), 5.52 (s, 1H), 4.82 (s, 2H), 4.23 – 4.11 (m, 1H), 3.28 (d, *J* = 11.7 Hz, 2H), 2.66 – 2.52 (m, 2H), 2.30 – 2.18 (m, 4H), 1.86 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (100 MHz, CDCl$_3$, 300 K): δ (ppm) = 159.2, 148.9, 147.7, 139.9, 138.2, 137.0, 135.9, 135.5, 129.0, 122.8, 120.0, 119.1, 116.7 (d, *J* = 23.0 Hz), 115.0 (d, *J* = 3.6 Hz), 107.4, 72.4, 58.4, 55.1, 32.3, 18.9. **^{19}F NMR** (375 MHz, $CDCl_3$, 300 K): δ (ppm) = -111.9. **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{26}H_{27}Cl_2FN_7O^+$: 542.1633; Found: 542.1641. **Mp**:121-123 °C.

***N*-((3*S*,4*R*)-3-((Benzo[*d*][1,3]dioxol-5-yloxy)methyl)-4-(4-fluorophenyl)piperidin-1-yl)pyridin-2-amine (98)**

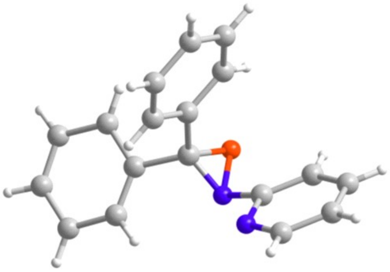


According to *GP4* with paroxetine (32.9 mg, 0.10 mmol, 1.0 equiv.) and nitrone (38.4 mg, 0.15 mmol, 1.5 equiv.) in 1.0 mL THF for 8 h. Purification by silica gel chromatography afforded the desired product as a brown oil in 60% yield (25.3 mg). **^{1}H NMR** (400 MHz, $CDCl_3$, 300 K): δ (ppm) = 8.08 (d, *J* = 5.3 Hz, 1H), 7.59 – 7.49 (m, 1H), 7.22 – 7.14 (m, 2H), 7.08 – 6.96 (m, 3H), 6.71 – 6.65 (m, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 6.32 (d, *J* = 2.5 Hz, 1H), 6.14 – 6.08 (m, 1H), 5.87 (s, 2H), 5.49 (s, 1H), 3.60 – 3.43 (m, 3H), 3.28 (d, *J* = 11.2 Hz, 1H), 2.58 – 2.44 (m, 3H), 2.39 – 2.28 (m, 1H), 2.03 – 1.86 (m, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$, 300 K): δ (ppm) = 161.6 (d, *J* = 243.2 Hz), 159.4, 154.2, 148.1, 147.9, 141.7, 139.1 (d, *J* = 3.3 Hz), 138.0, 128.8 (d, *J* = 7.7 Hz), 115.5 (d, *J* = 21.1 Hz), 114.8, 107.8, 107.4, 105.6, 101.1, 97.9, 69.2, 60.0, 56.9, 43.2, 42.4, 34.1. **^{19}F NMR** (375 MHz, $CDCl_3$, 300 K): δ (ppm) = -116.0 – 116.2 (m). **HRMS** (ESI) m/z: $[M+H]^+$ Calculated for $C_{24}H_{25}F_3N_3O_3^+$: 422.1874; Found: 422.1880.

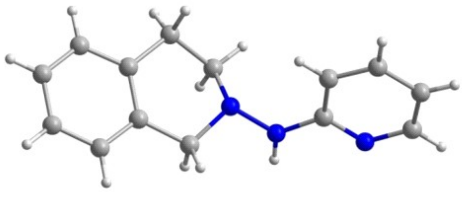
9. X-ray information

Method for single crystals cultivation: The single crystal for **2d** (CCDC-2311417) were prepared from a mixture solvent of DCM and Hexane (v/v = 1:1). a pure solid sample (10-20 mg) was dissolved in DCM (2 mL) in a vial at room temperature, and Hexane (2-3 mL) was added into the above solution slowly while keeping the sample completely dissolved. The vial was properly sealed with parafilm and kept at room temperature to allow the slow evaporation of the solvents until a single crystal was obtained.

Method for single crystals cultivation: The single crystal for **84** (CCDC-2282685) were prepared from a mixture solvent of DCM and PE (v/v = 1:1). a pure solid sample (10-20 mg) was dissolved in DCM (2 mL) in a vial at room temperature, and PE (2-3 mL) was added into the above solution slowly while keeping the sample completely dissolved. The vial was properly sealed with parafilm and kept at room temperature to allow the slow evaporation of the solvents until a single crystal was obtained.



X-ray of 2d
CCDC 2311417



X-ray of 84
CCDC 2282685

10. References

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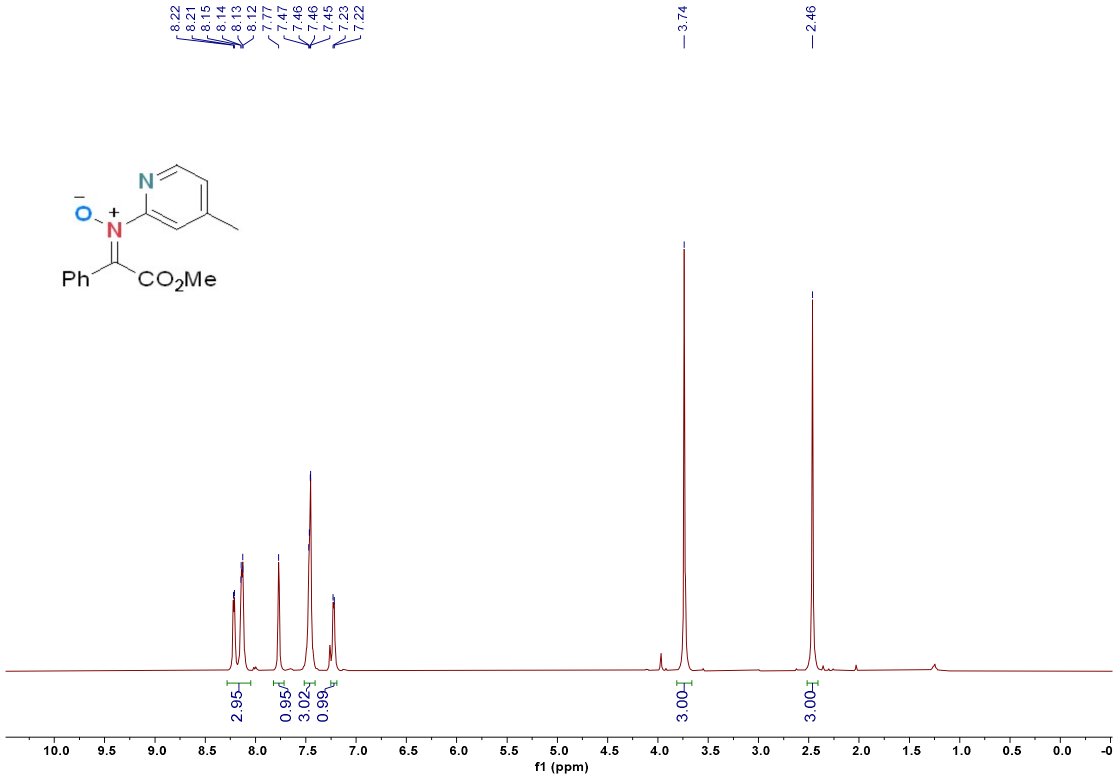
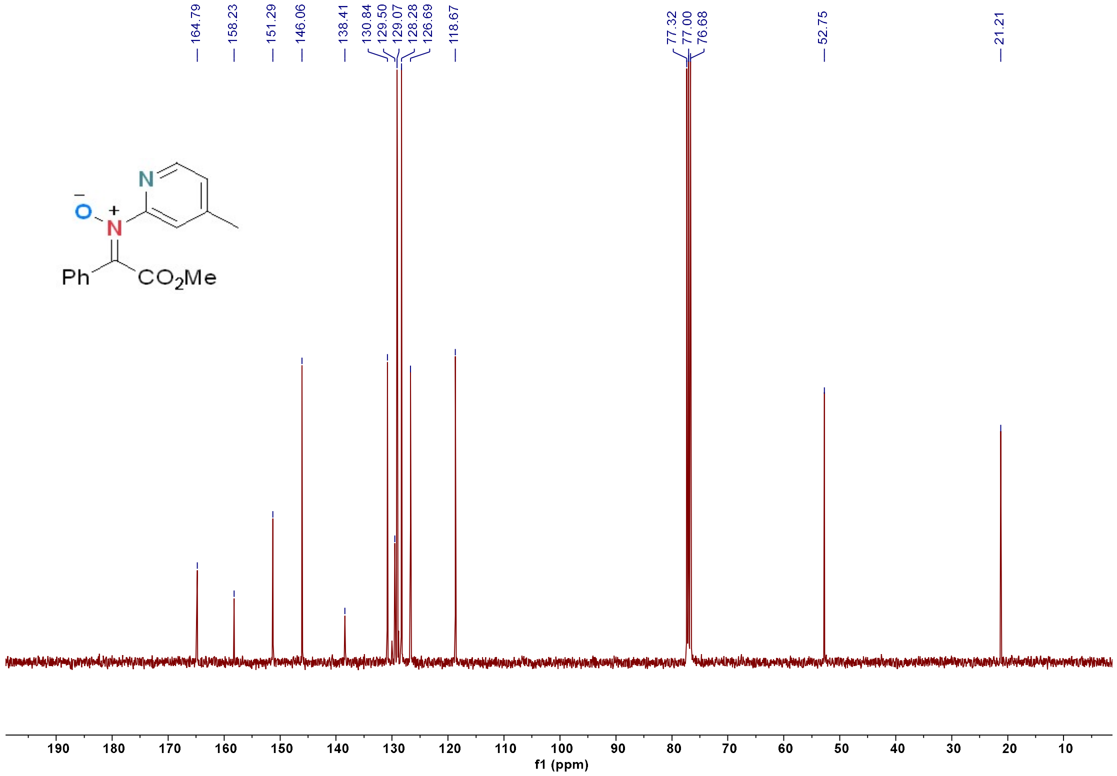
11. Copies of ^{1}H NMR and ^{13}C NMR spectra

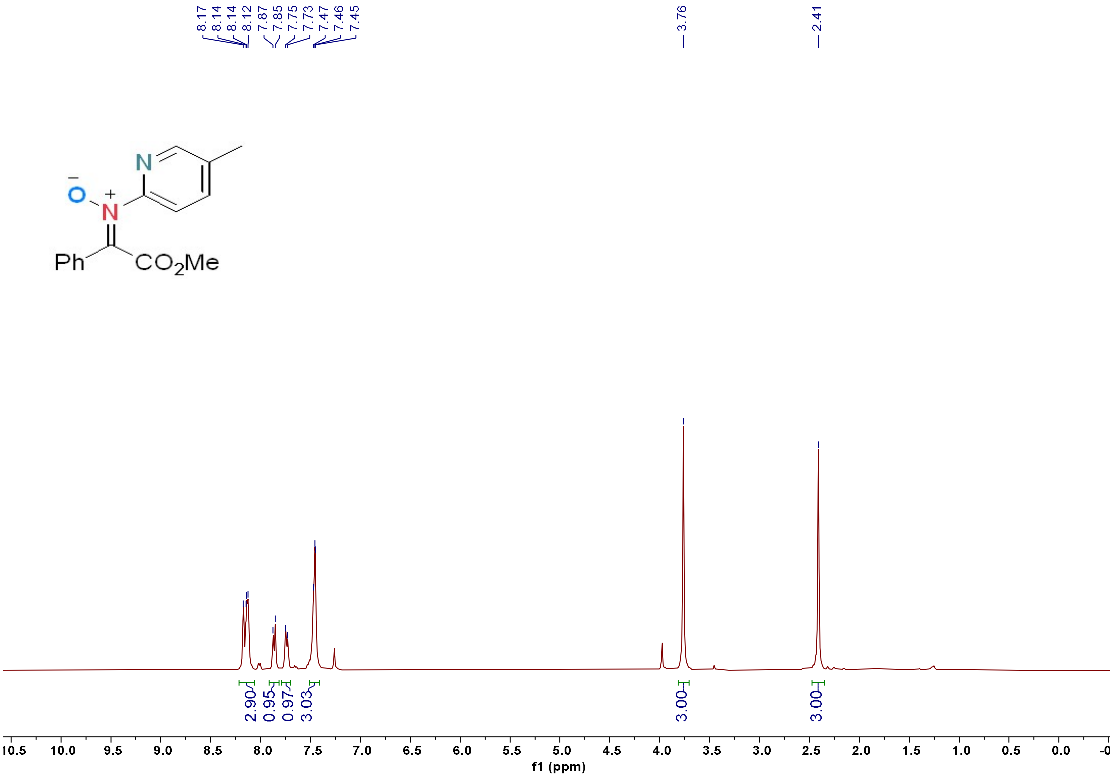
^{1}H NMR (400 MHz) Spectrum of starting materials in $CDCl_3$



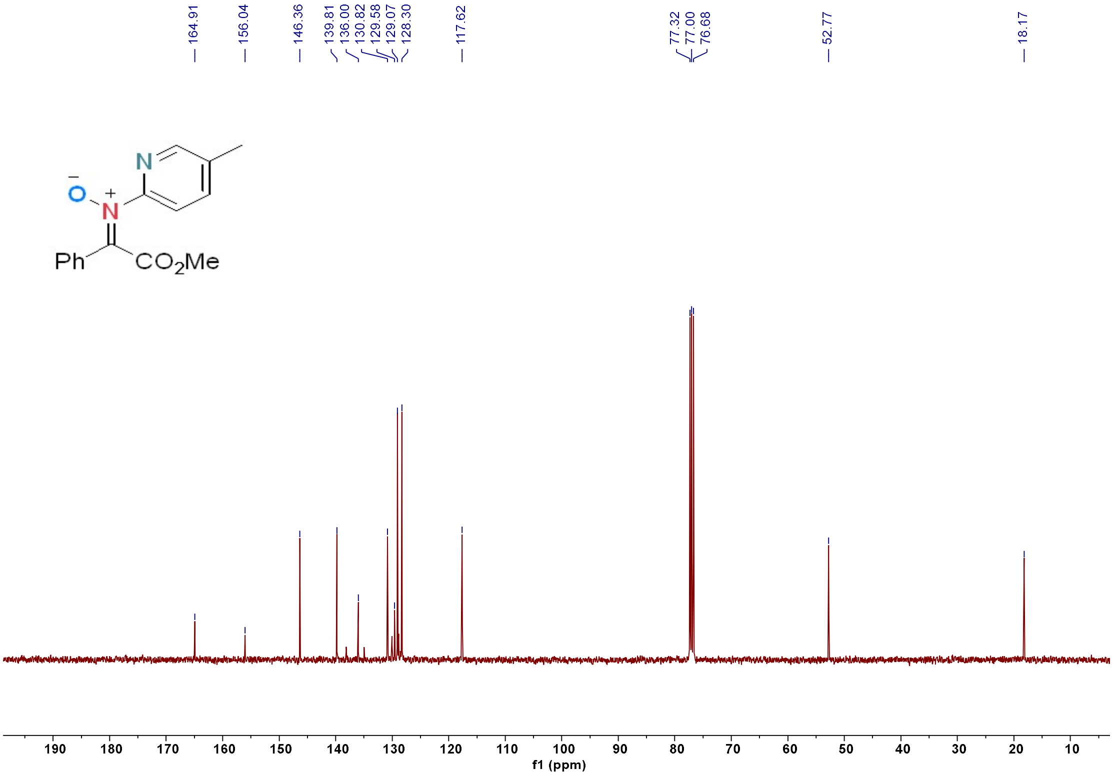
^{13}C NMR (100 MHz) Spectrum of starting materials in $CDCl_3$



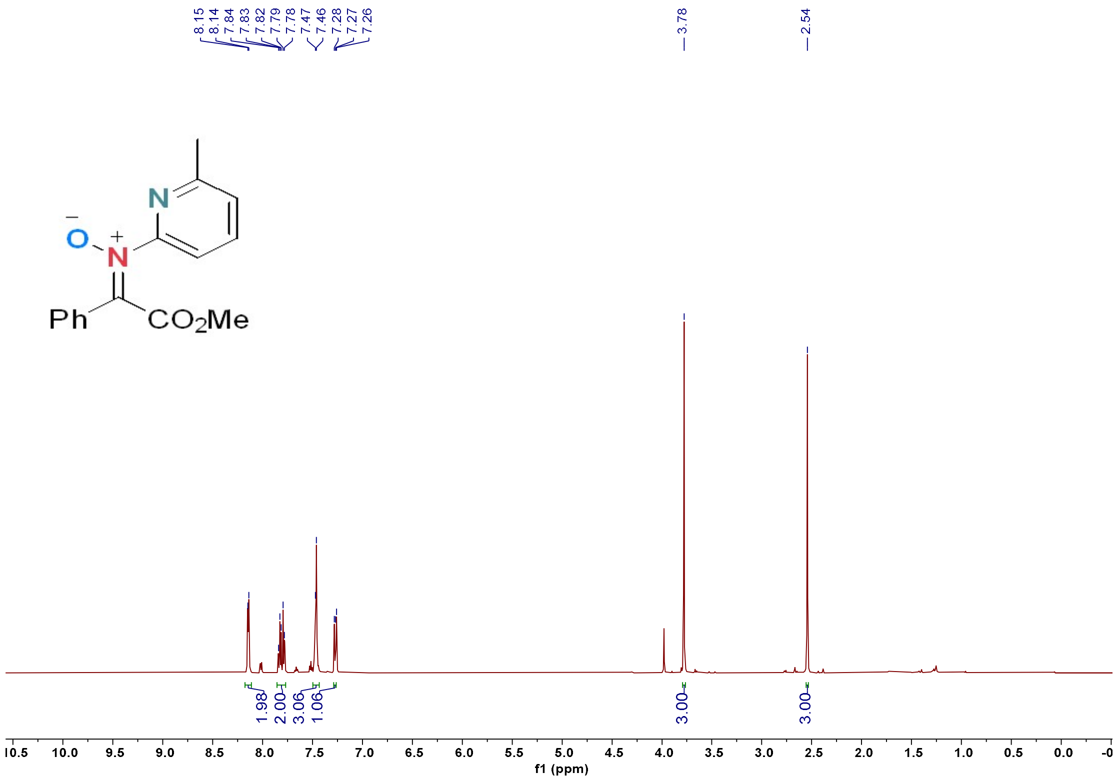
^{1}H NMR (400 MHz) Spectrum of starting materials in $CDCl_3$



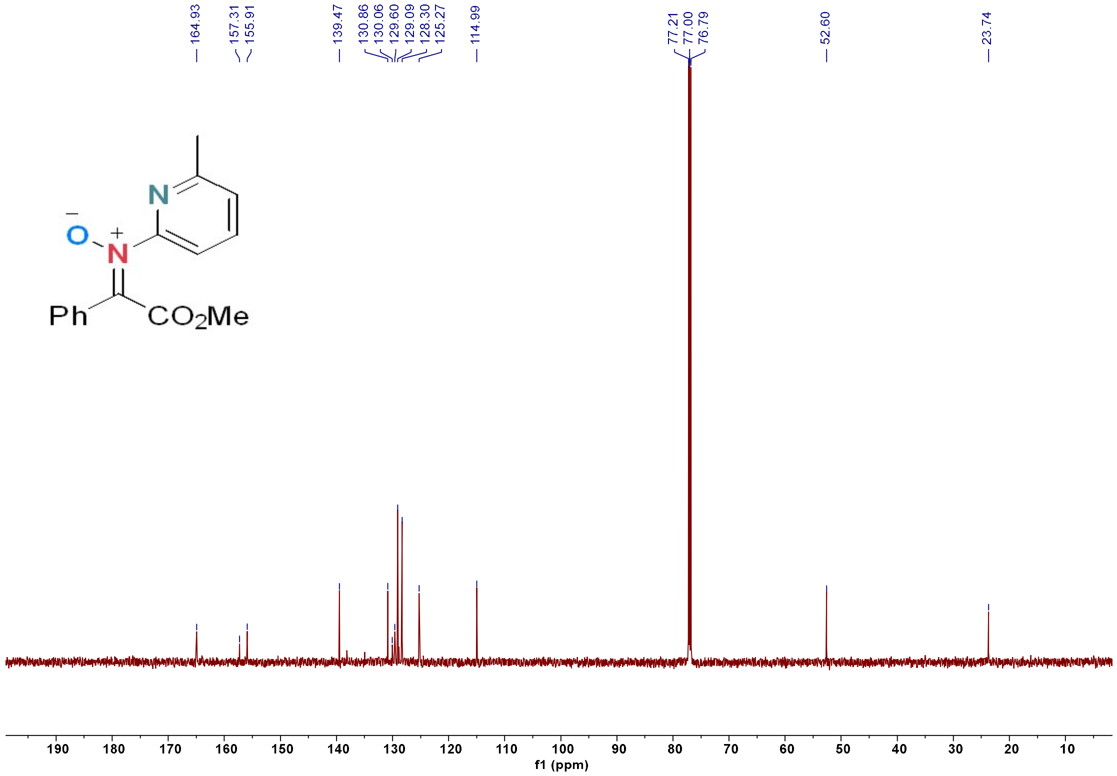
^{13}C NMR (100 MHz) Spectrum of starting materials in $CDCl_3$



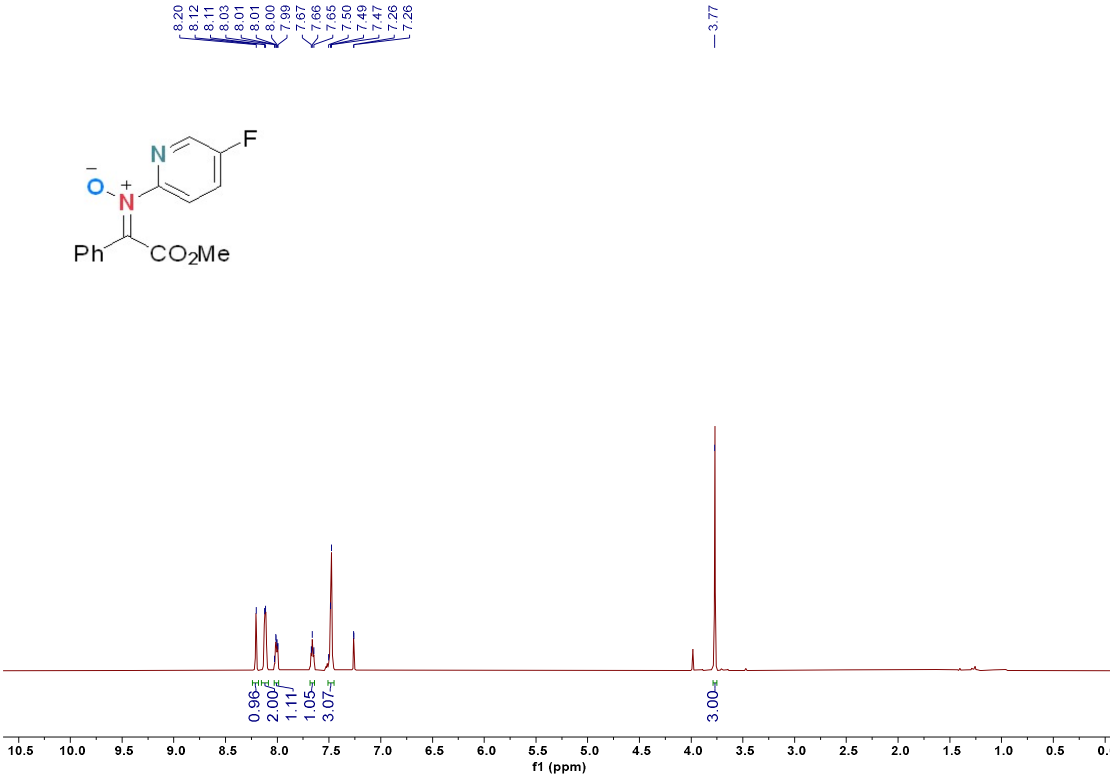
^{1}H NMR (600 MHz) Spectrum of starting materials in CDCl$_3$



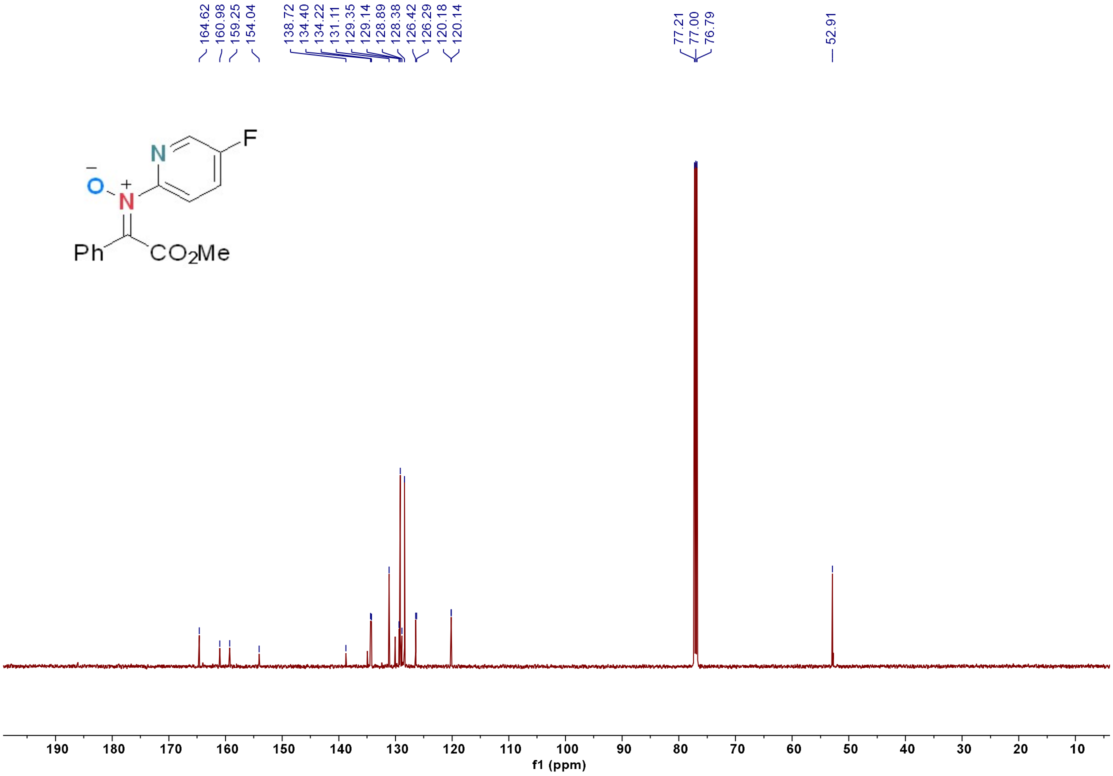
^{13}C NMR (150 MHz) Spectrum of starting materials in CDCl$_3$



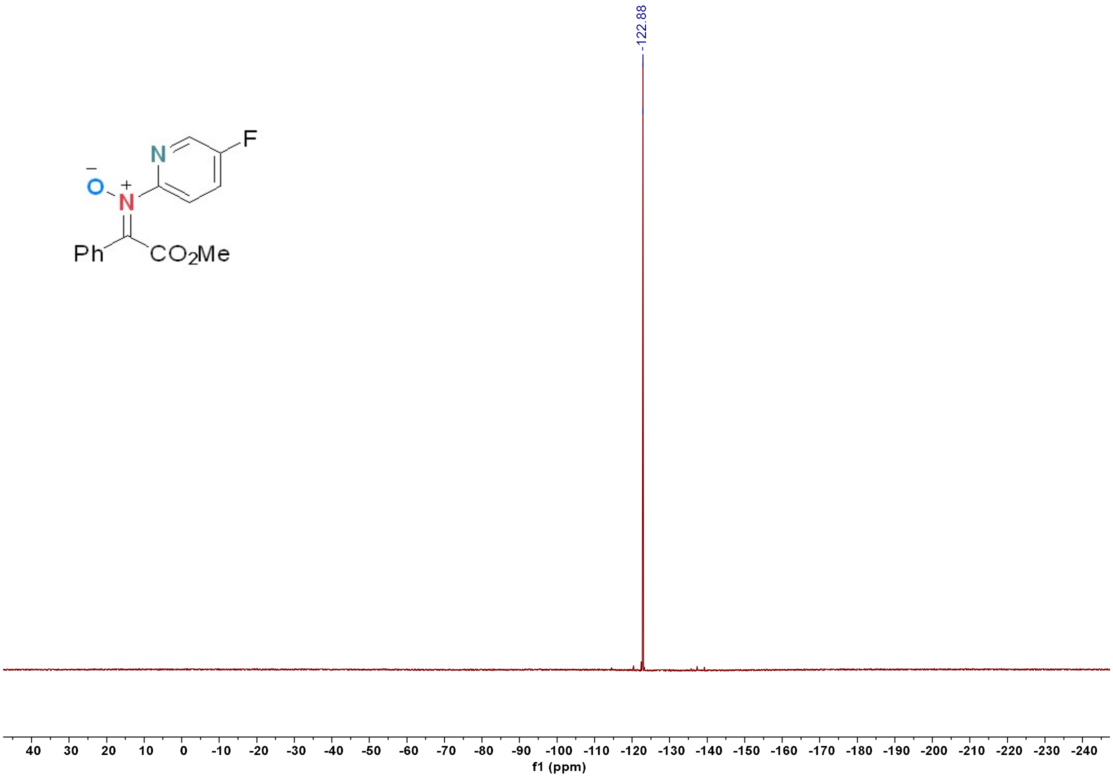
^{1}H NMR (600 MHz) Spectrum of starting materials in $CDCl_3$



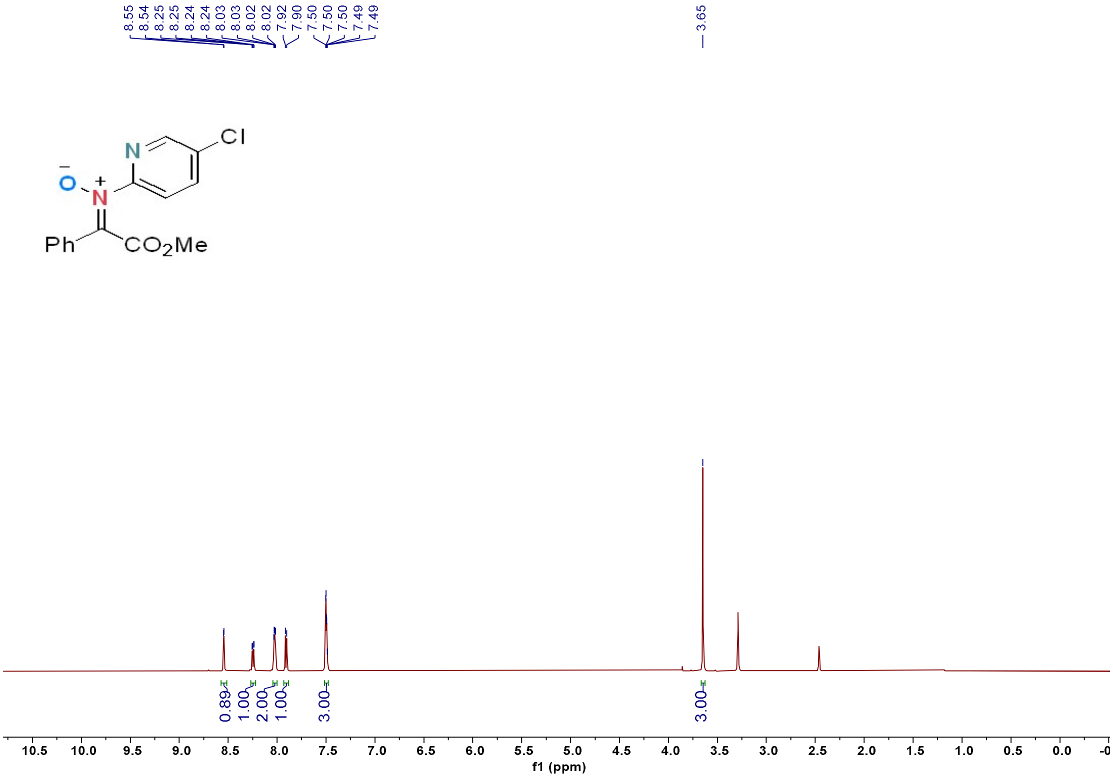
^{13}C NMR (150 MHz) Spectrum of starting materials in $CDCl_3$



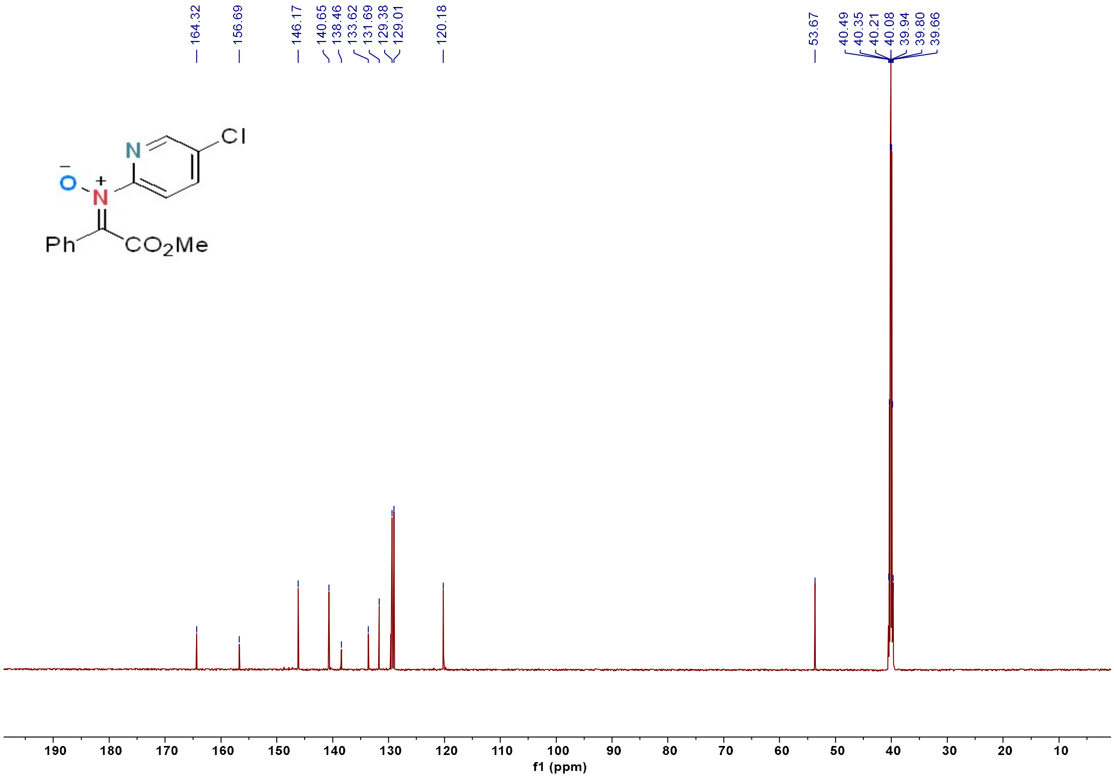
^{19}F NMR (565 MHz) Spectrum of starting materials in $CDCl_3$



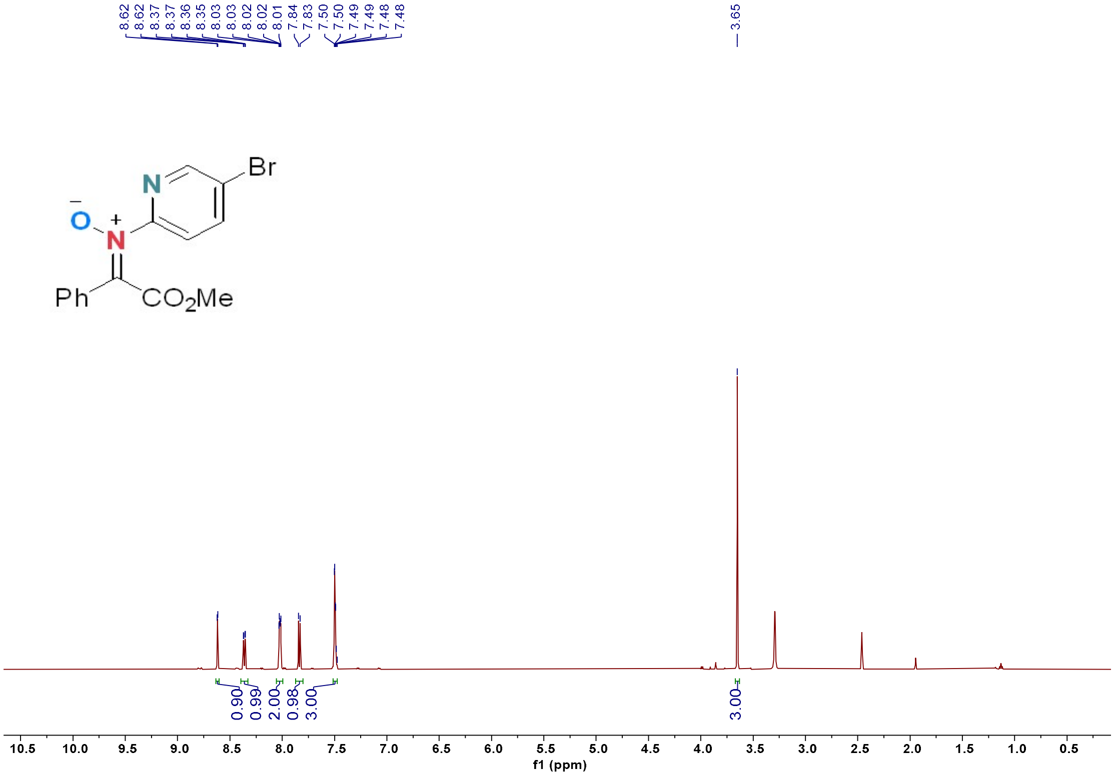
^{1}H NMR (600 MHz) Spectrum of starting materials in DMSO-d_6



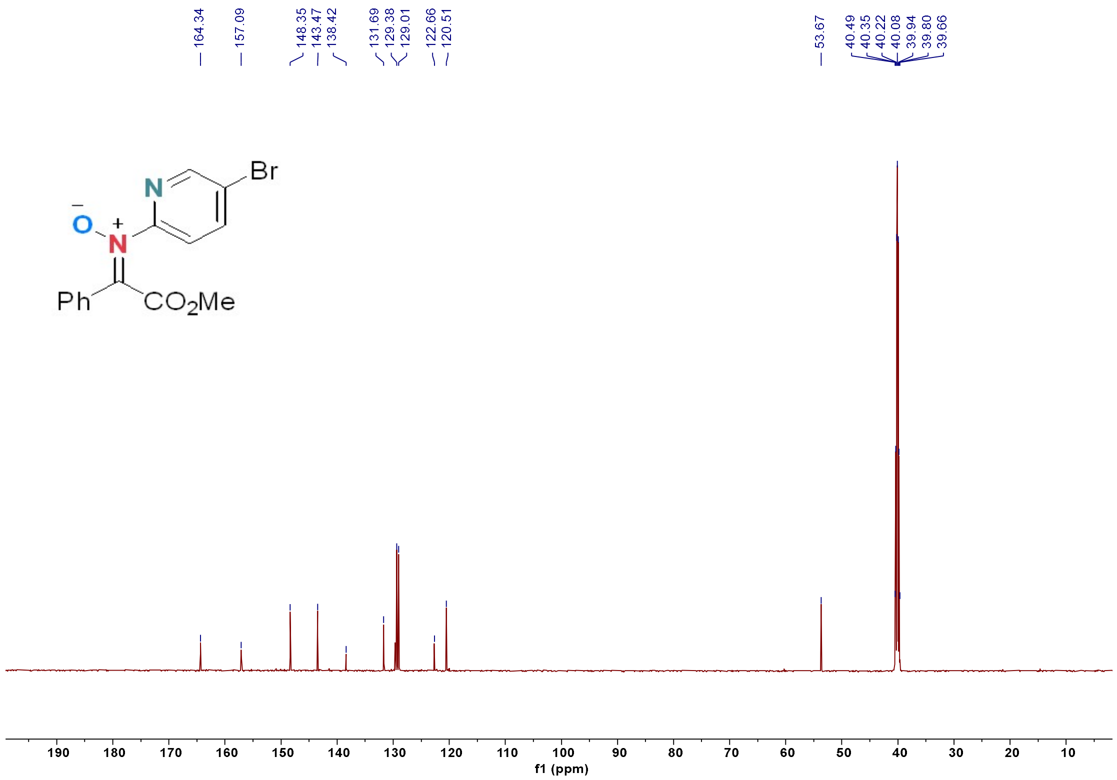
^{13}C NMR (150 MHz) Spectrum of starting materials in DMSO-*d*$_6$



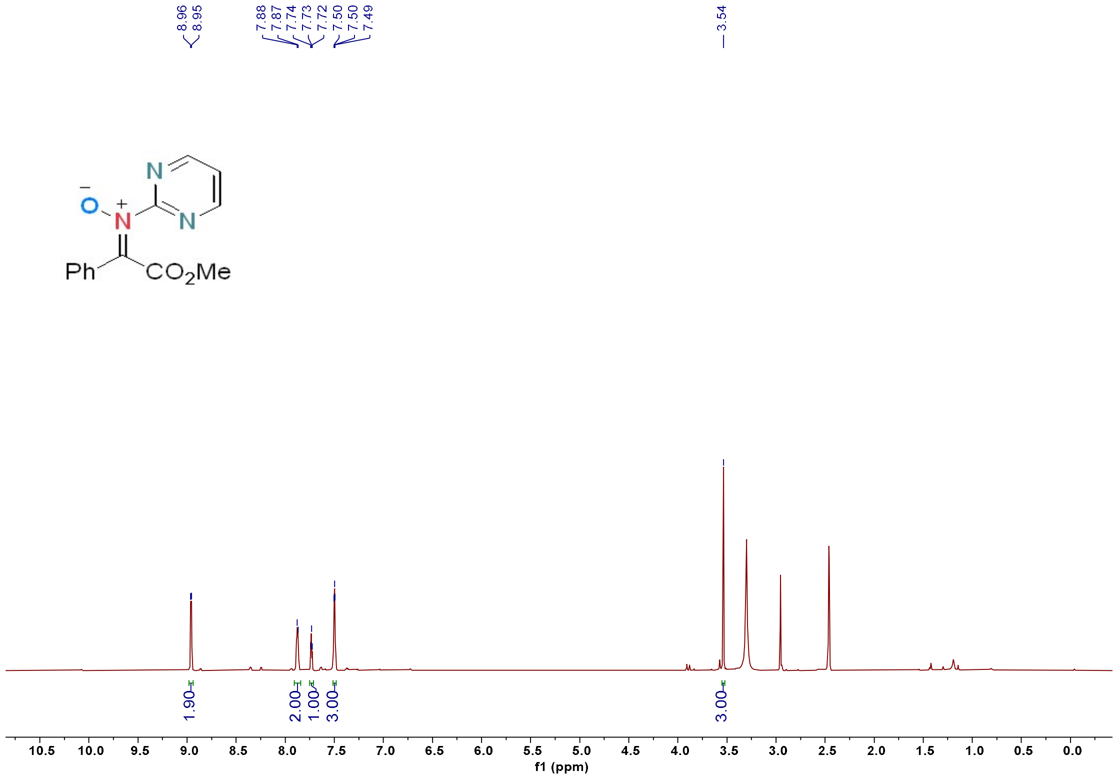
^{1}H NMR (600 MHz) Spectrum of starting materials in DMSO-*d*$_6$



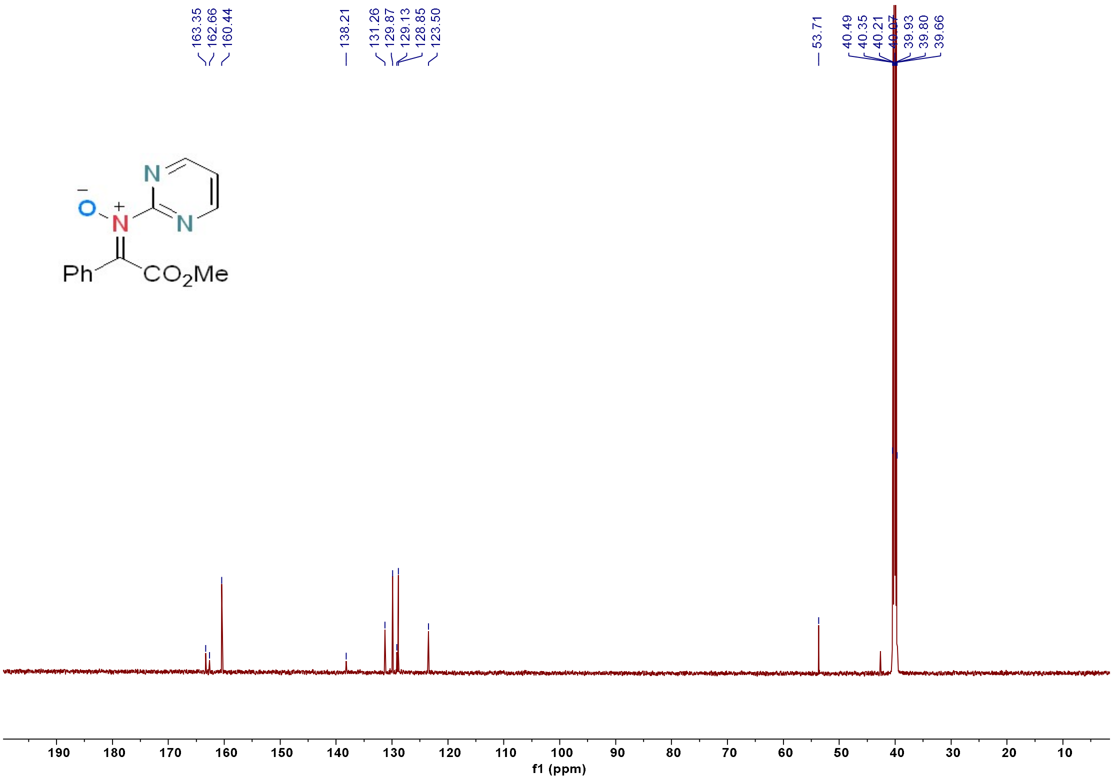
^{13}C NMR (150 MHz) Spectrum of starting materials in DMSO-d_6



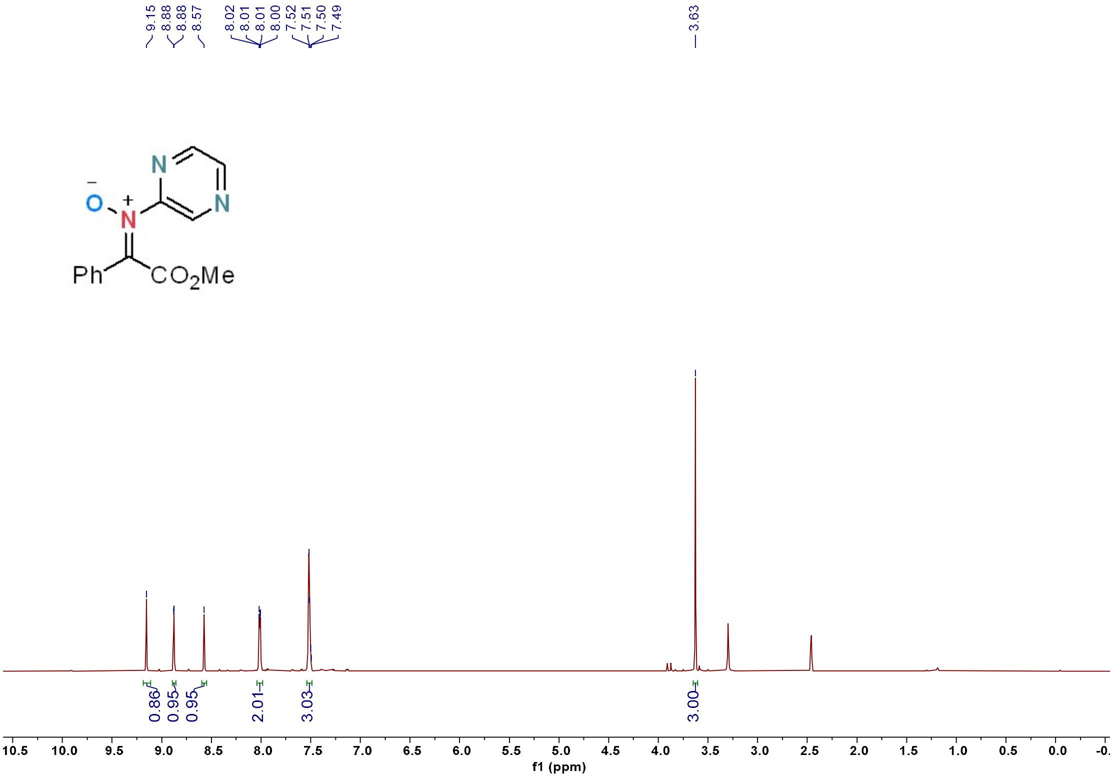
^{1}H NMR (600 MHz) Spectrum of starting materials in DMSO-d_6



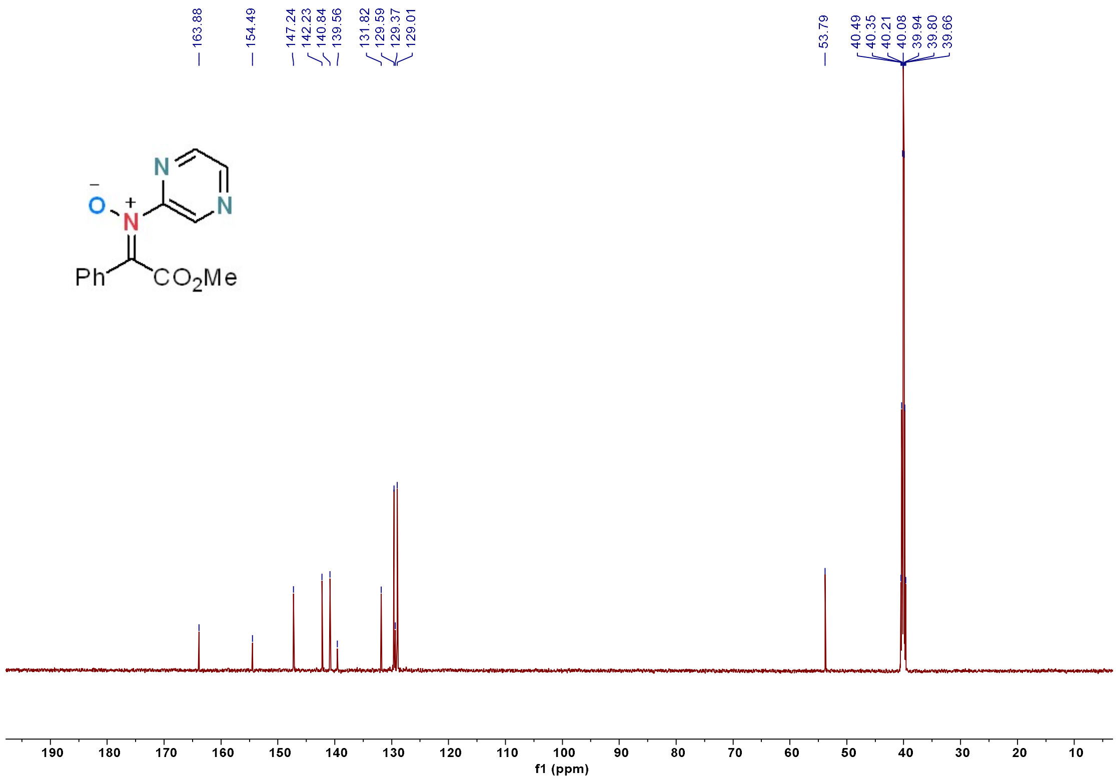
^{13}C NMR (150 MHz) Spectrum of starting materials in DMSO-*d*$_6$



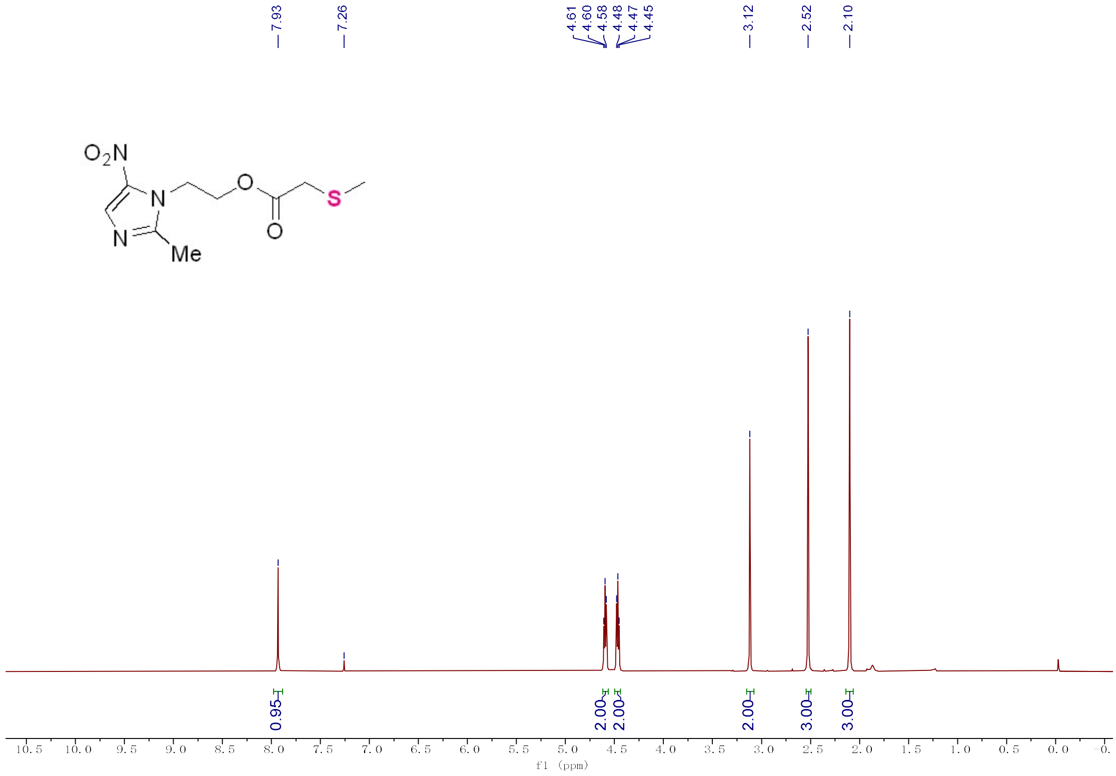
^{1}H NMR (600 MHz) Spectrum of starting materials in DMSO-*d*$_6$



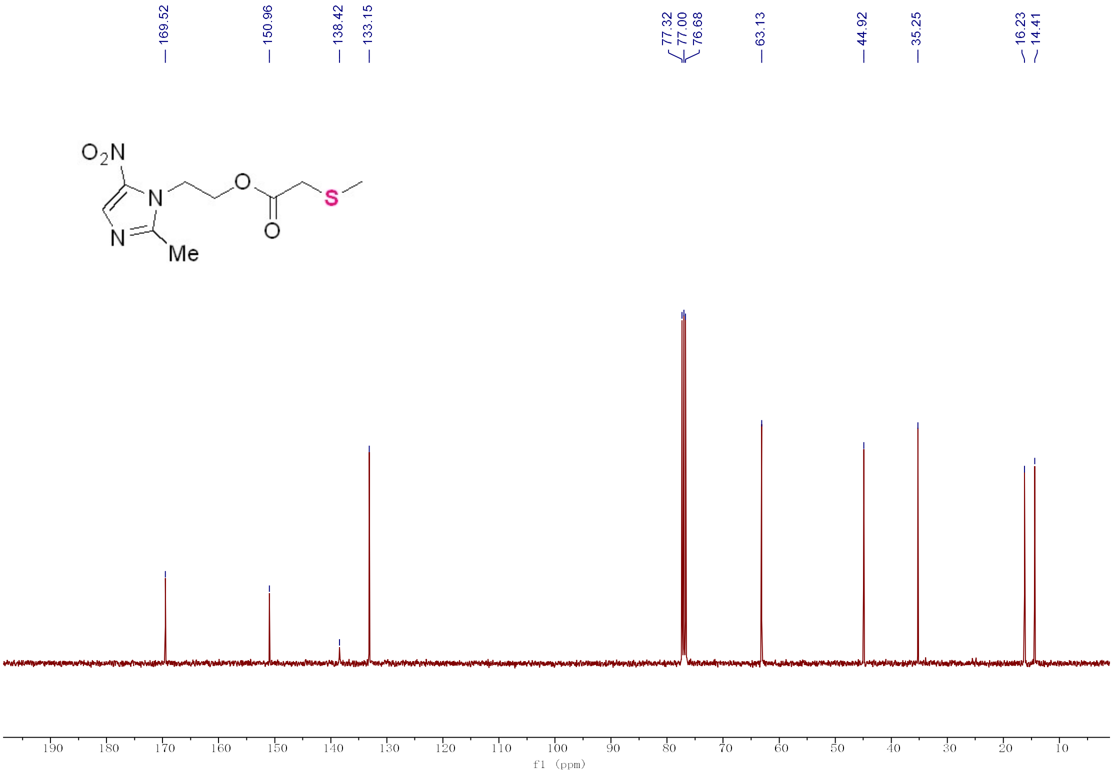
^{13}C NMR (150 MHz) Spectrum of starting materials in DMSO-*d*$_6$



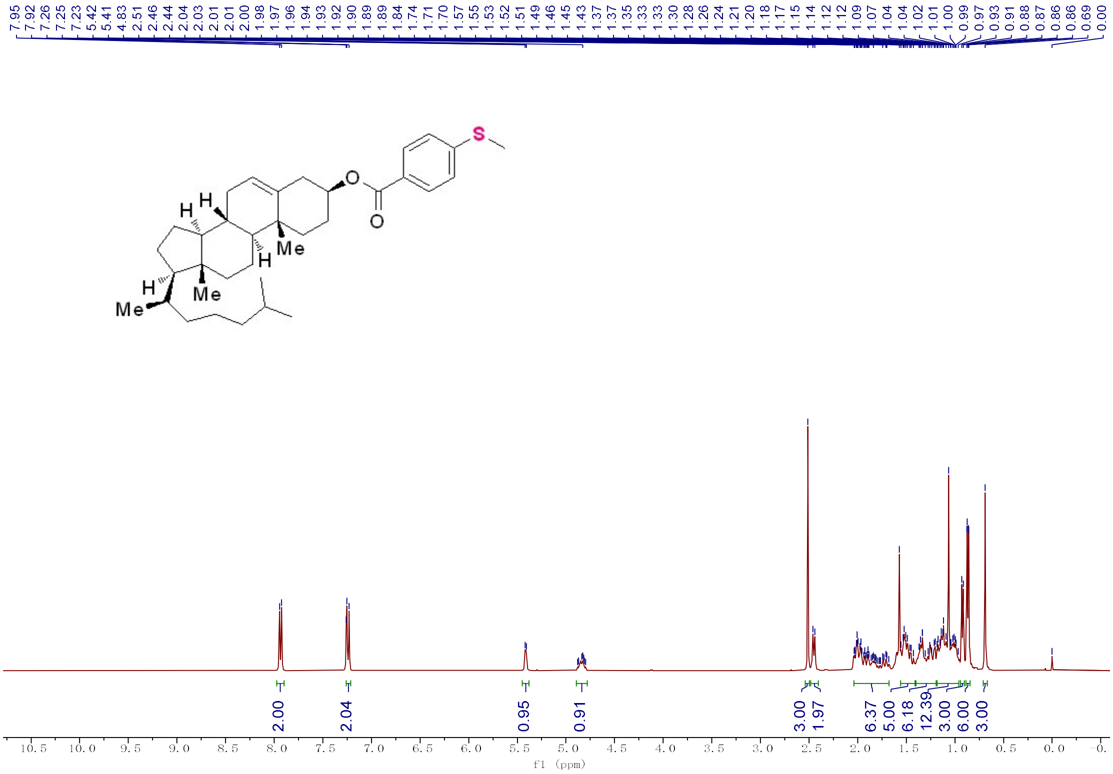
^{1}H NMR (400 MHz) Spectrum of starting materials in CDCl$_3$



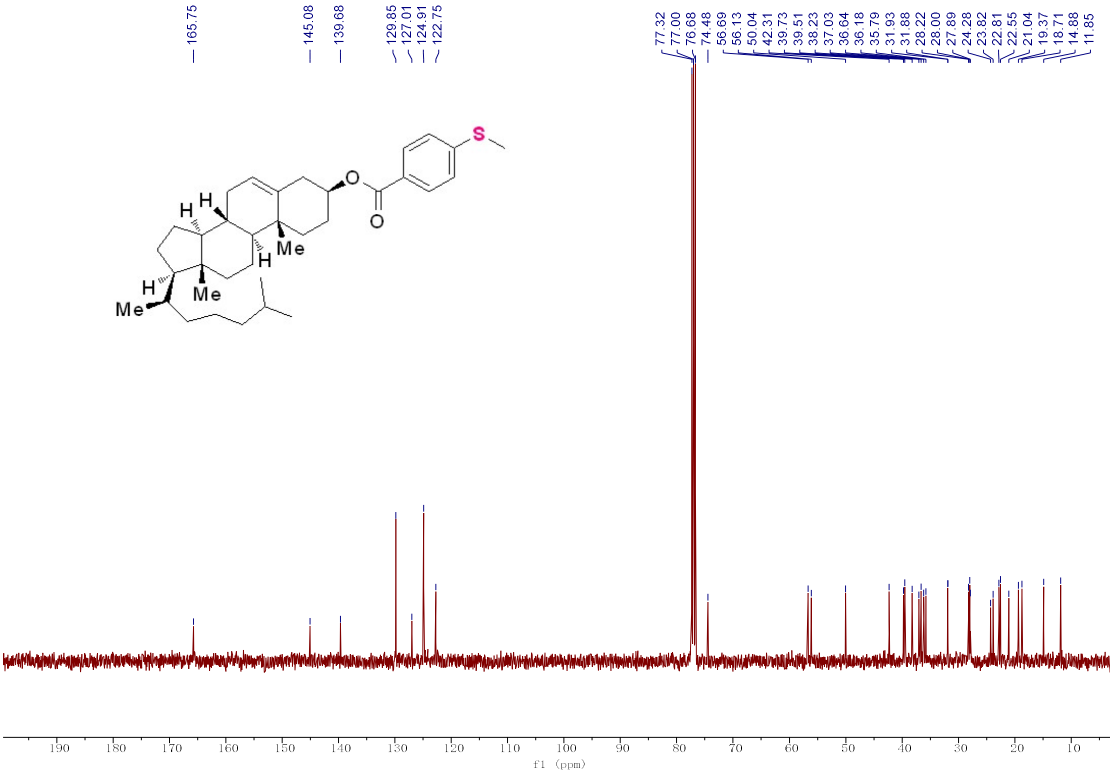
^{13}C NMR (100 MHz) Spectrum of starting materials in $CDCl_3$



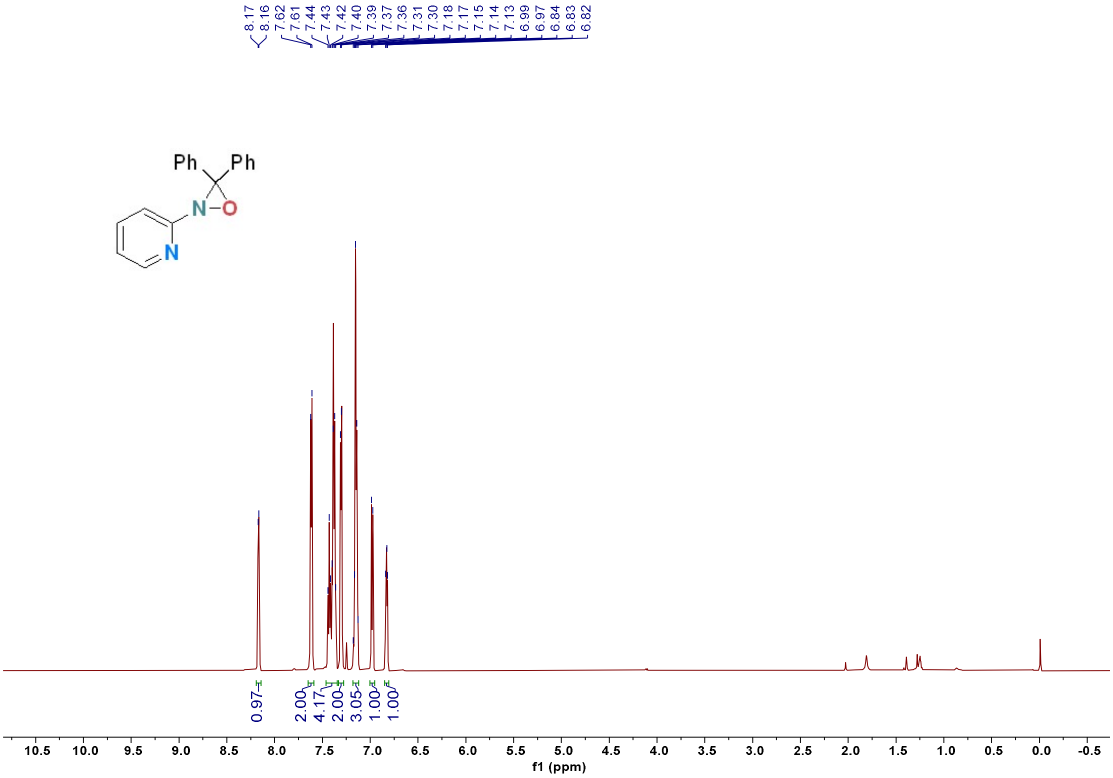
^{1}H NMR (400 MHz) Spectrum of starting materials in $CDCl_3$



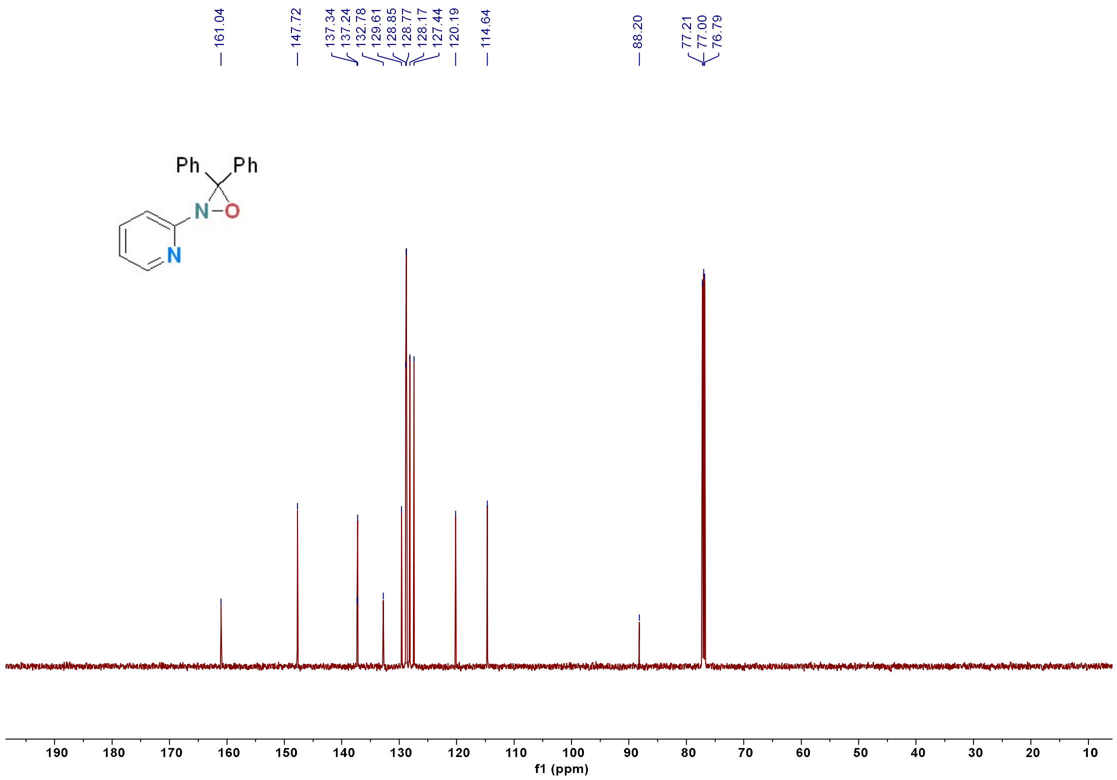
^{13}C NMR (100 MHz) Spectrum of starting materials in CDCl$_3$



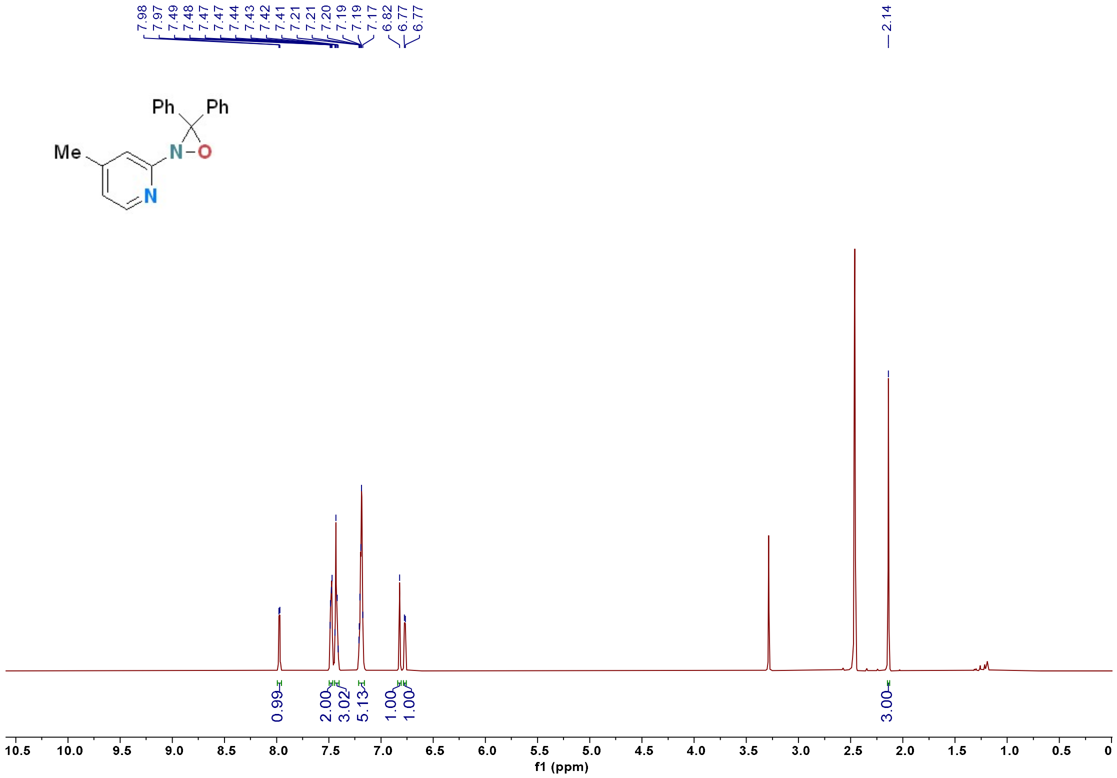
^{1}H NMR (600 MHz) Spectrum of 2d in CDCl$_3$



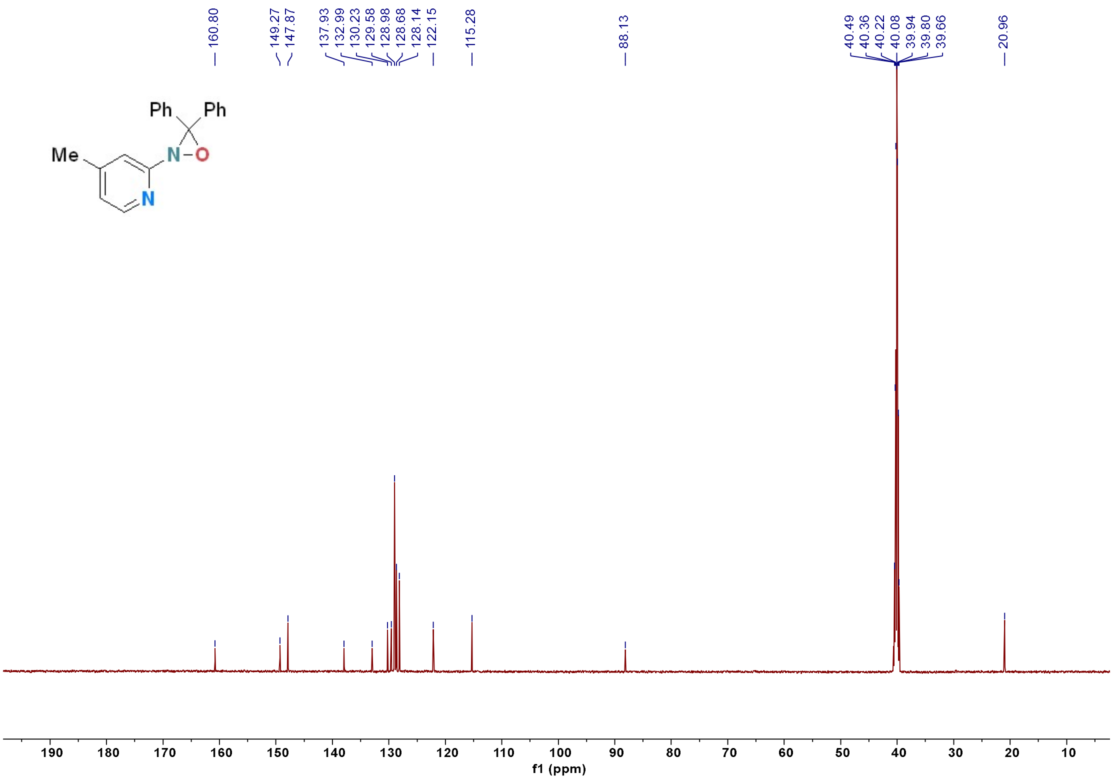
^{13}C NMR (150 MHz) Spectrum of 2d in $CDCl_3$



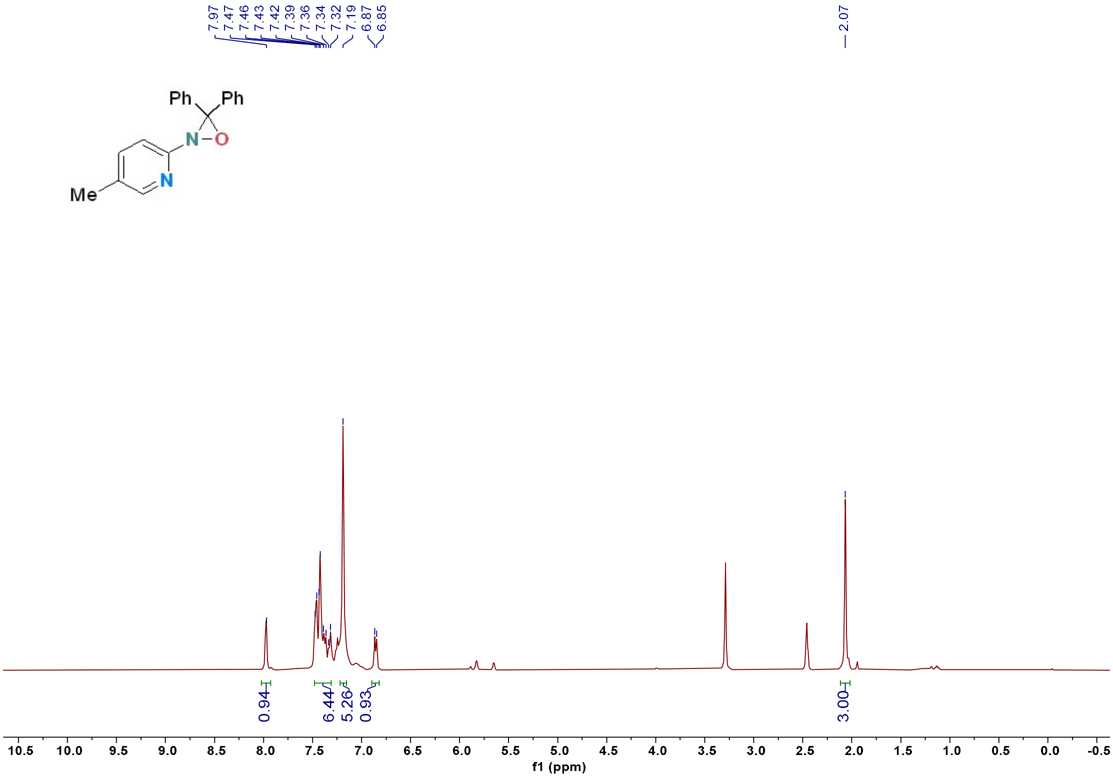
^1H NMR (600 MHz) Spectrum of 6 in DMSO-d_6



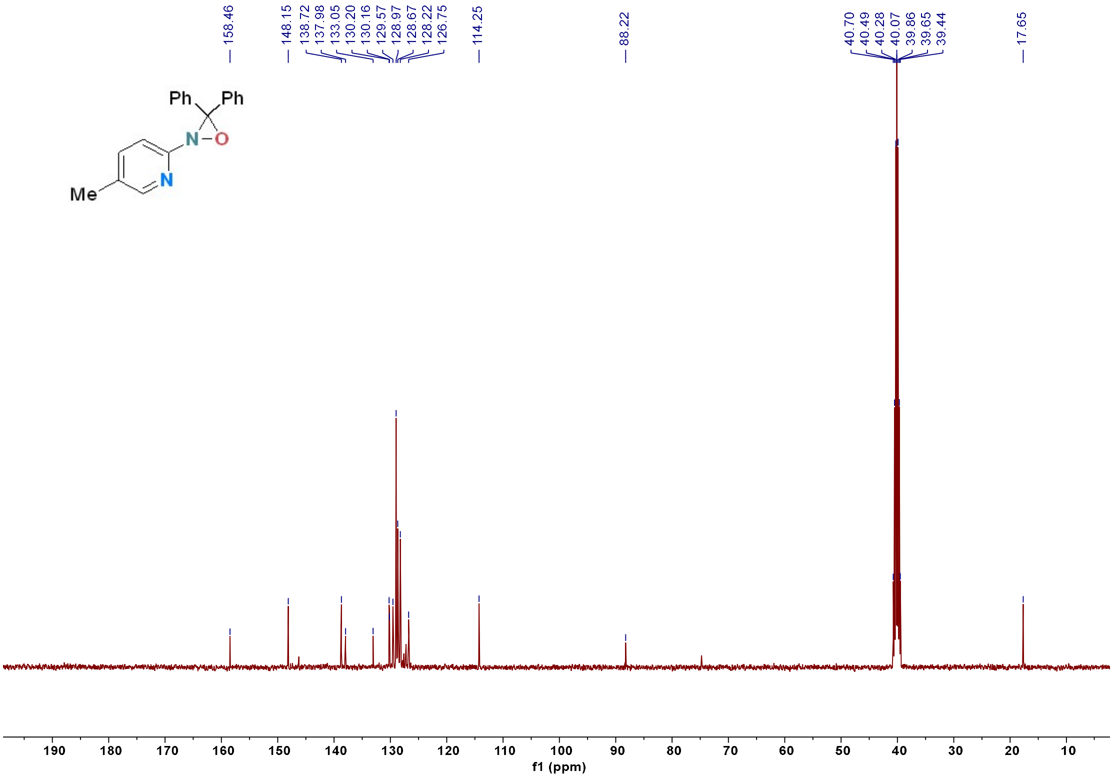
^{13}C NMR (150 MHz) Spectrum of 6 in DMSO-d_6



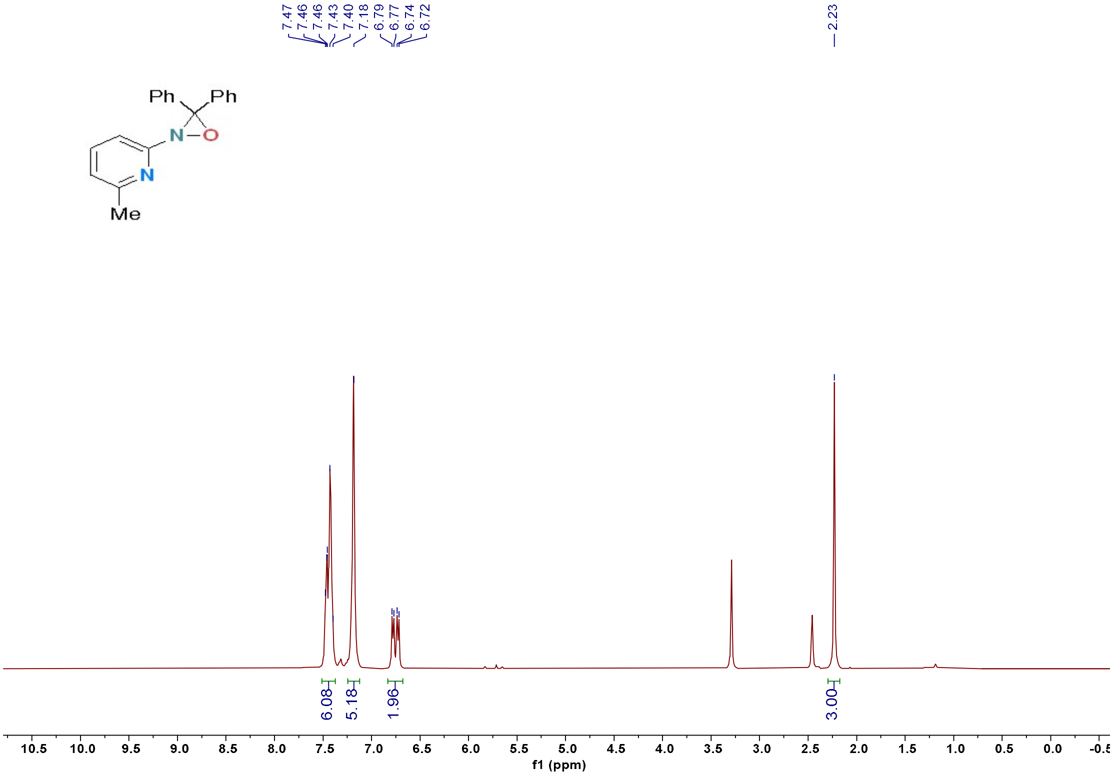
^{1}H NMR (400 MHz) Spectrum of 7 in DMSO-d_6



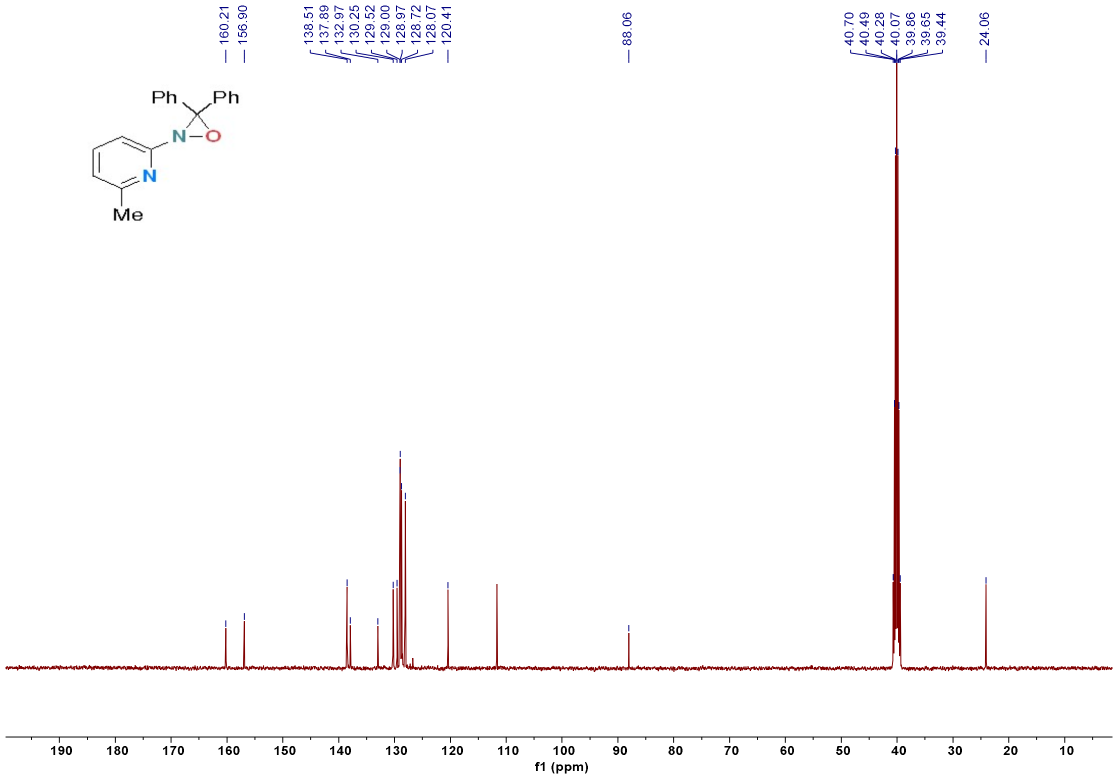
^{13}C NMR (100 MHz) Spectrum of 7 in DMSO-*d$_6$*



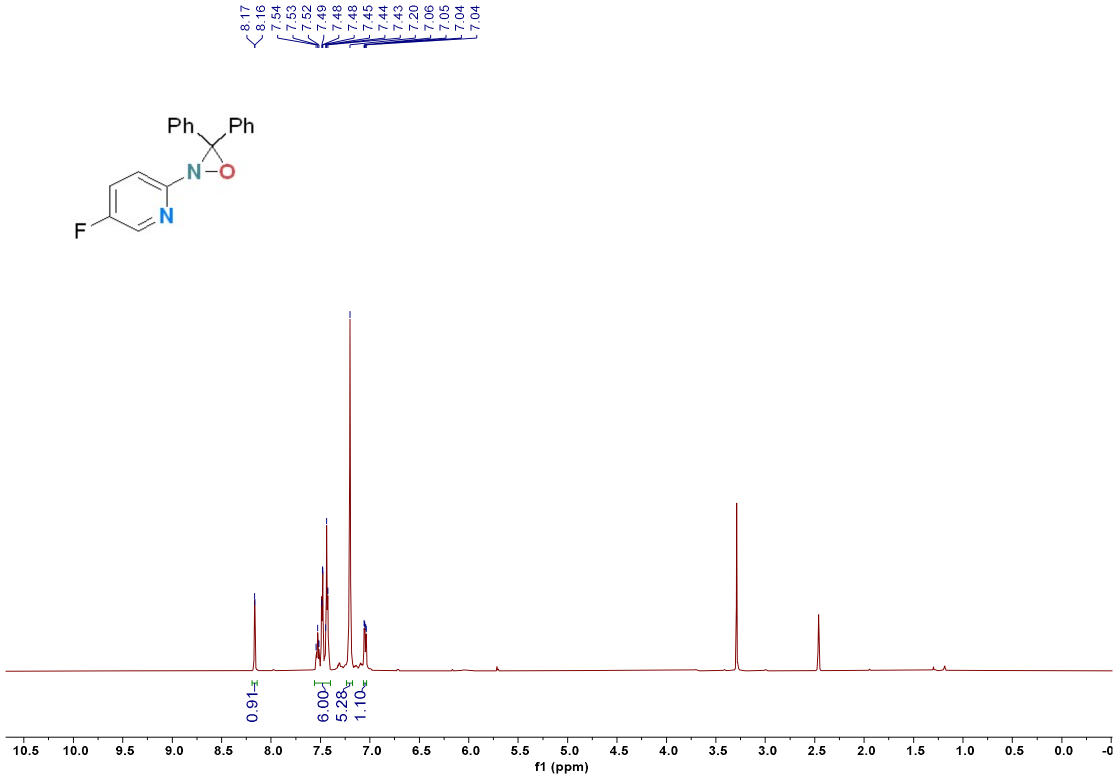
^{1}H NMR (400 MHz) Spectrum of 8 in DMSO-*d$_6$*



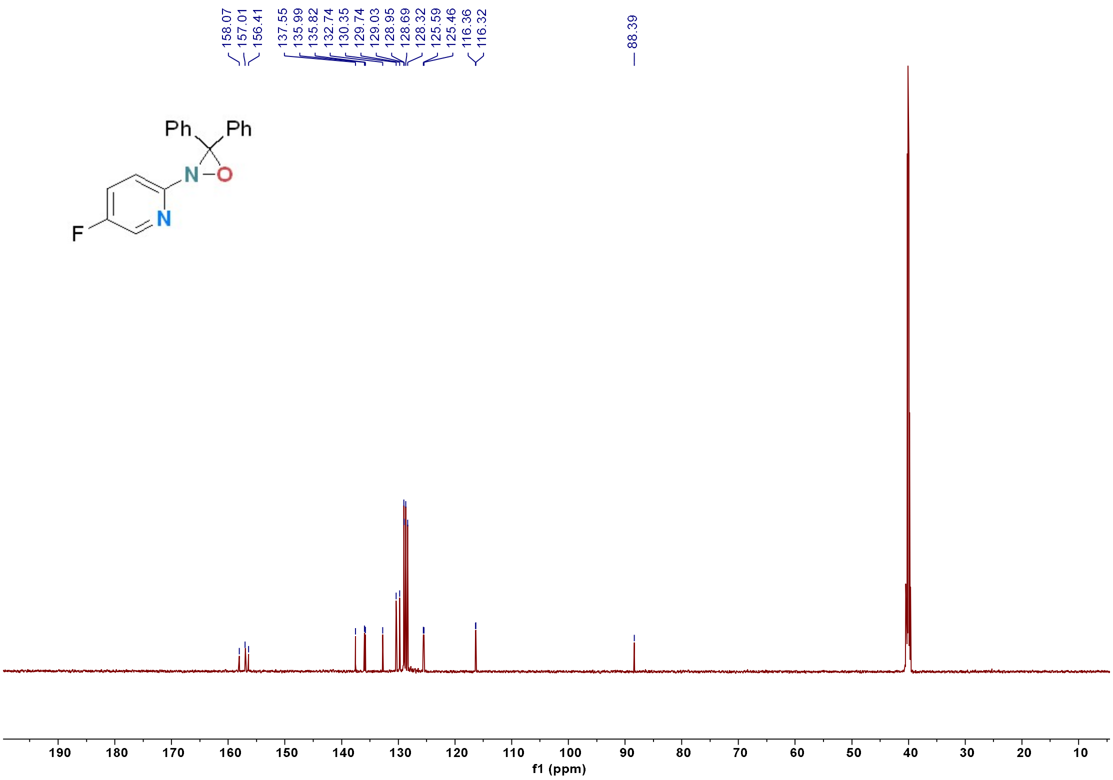
^{13}C NMR (100 MHz) Spectrum of 8 in DMSO-d_6



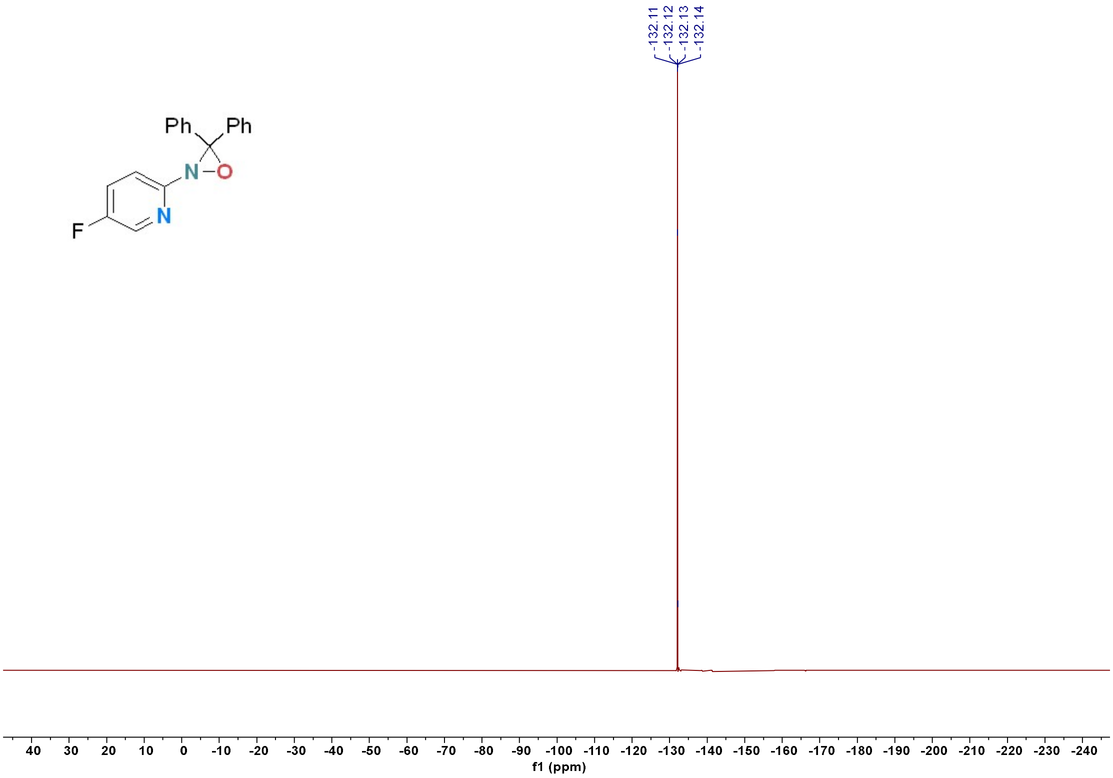
^{1}H NMR (600 MHz) Spectrum of 9 in DMSO-d_6



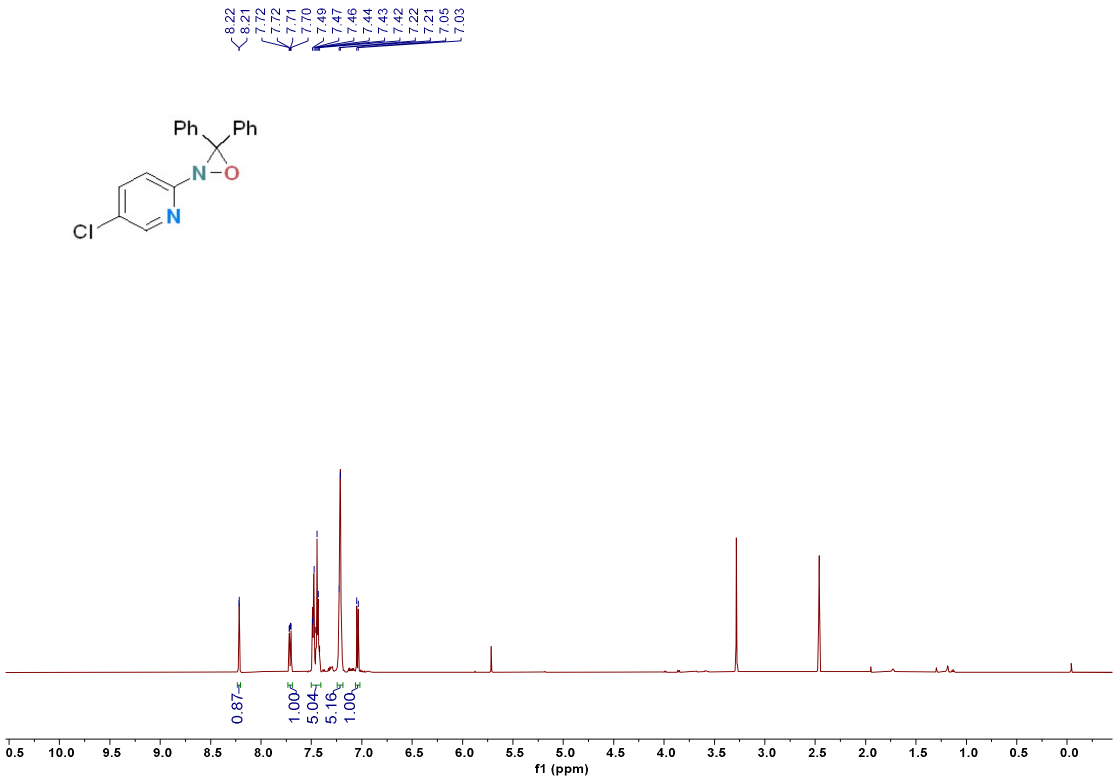
^{13}C NMR (150 MHz) Spectrum of 9 in DMSO-d_6



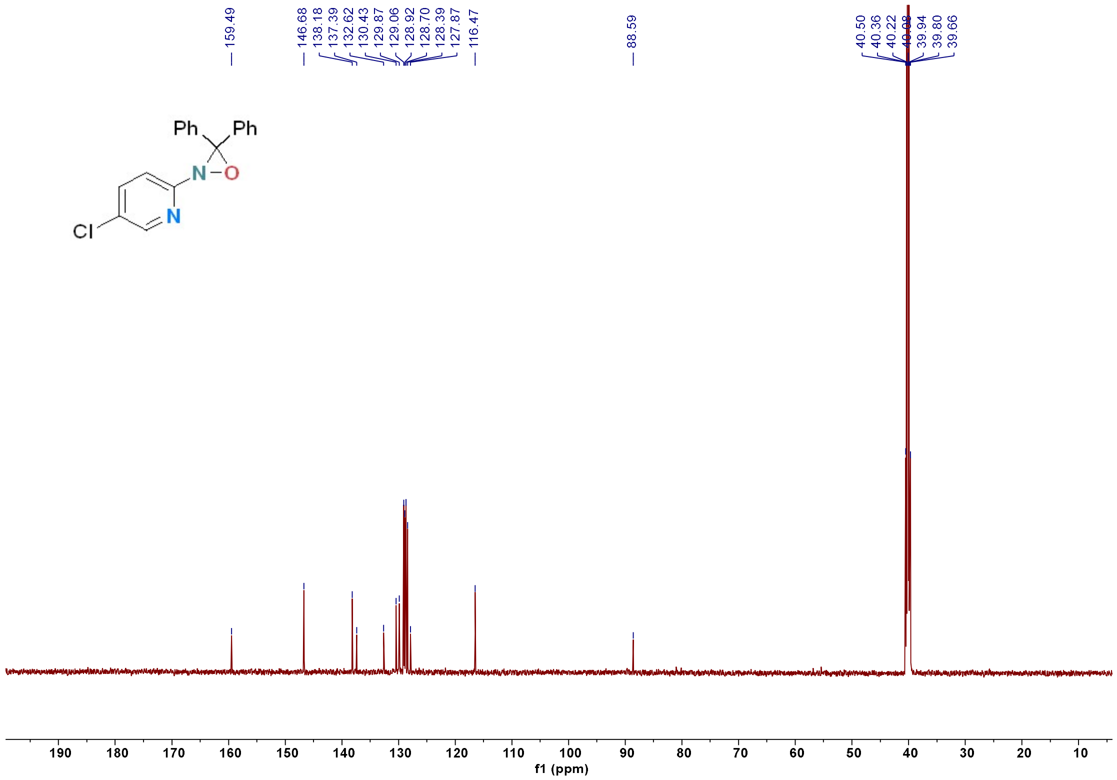
^{19}F NMR (565 MHz) Spectrum of 9 in DMSO-d_6



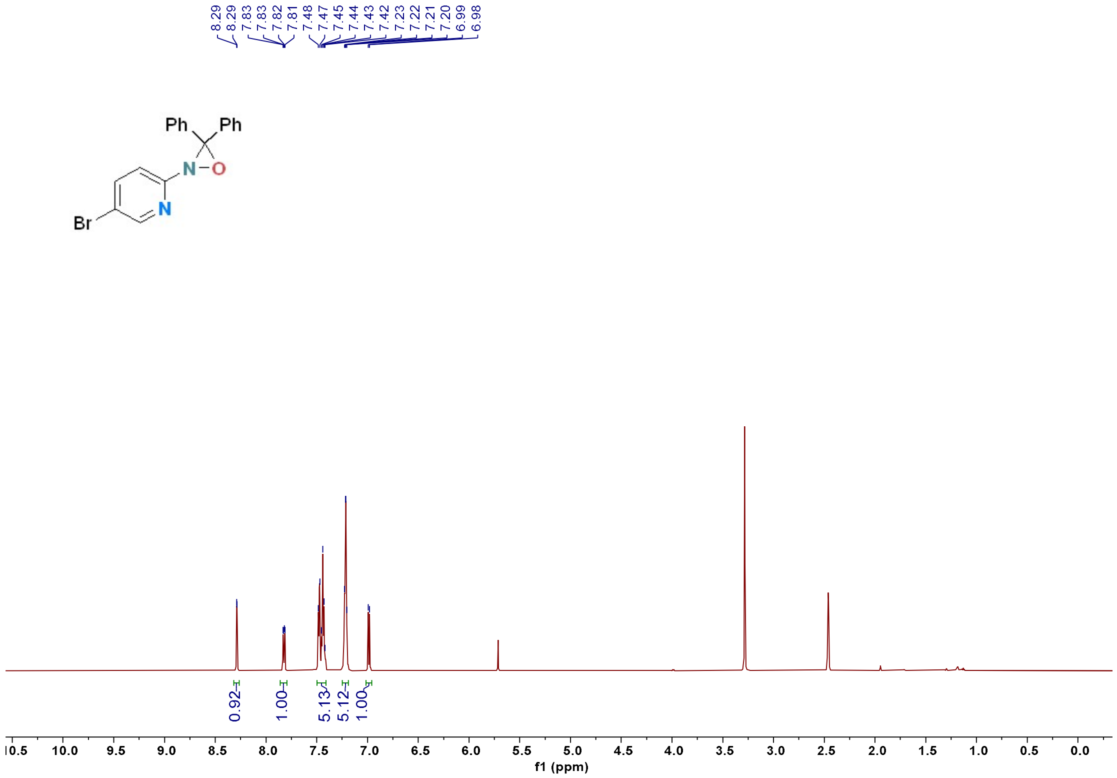
^{1}H NMR (600 MHz) Spectrum of 10 in DMSO-d_6



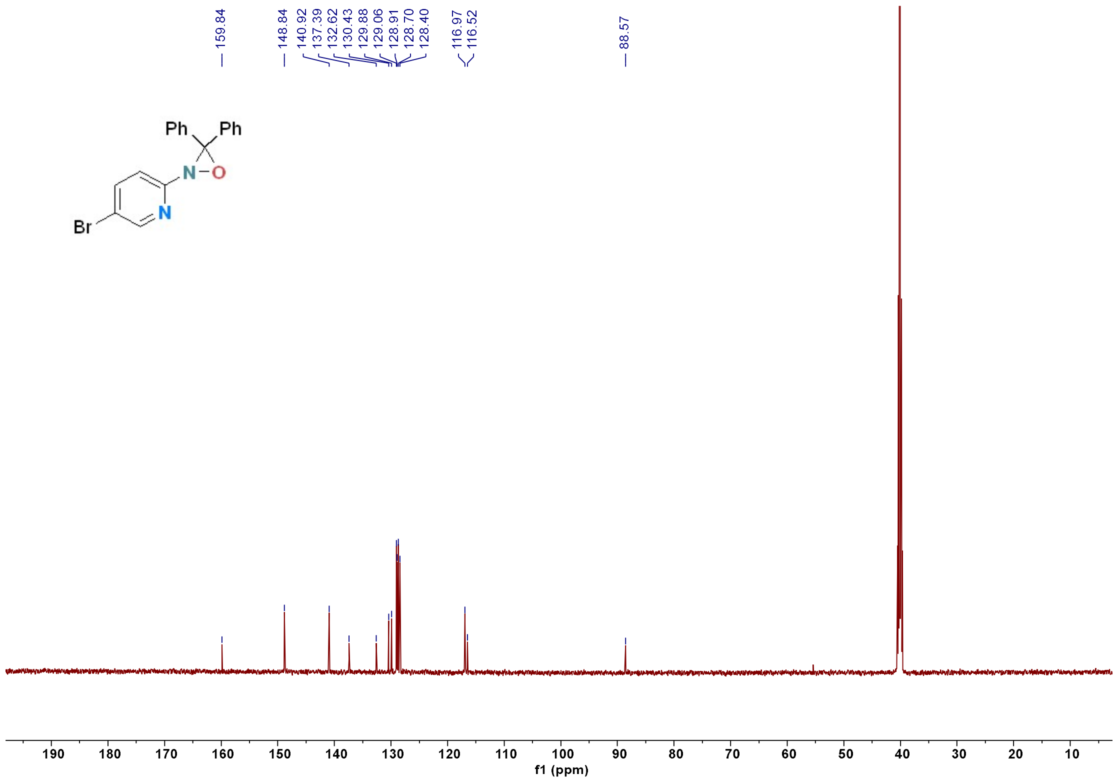
^{13}C NMR (150 MHz) Spectrum of 10 in DMSO-d_6



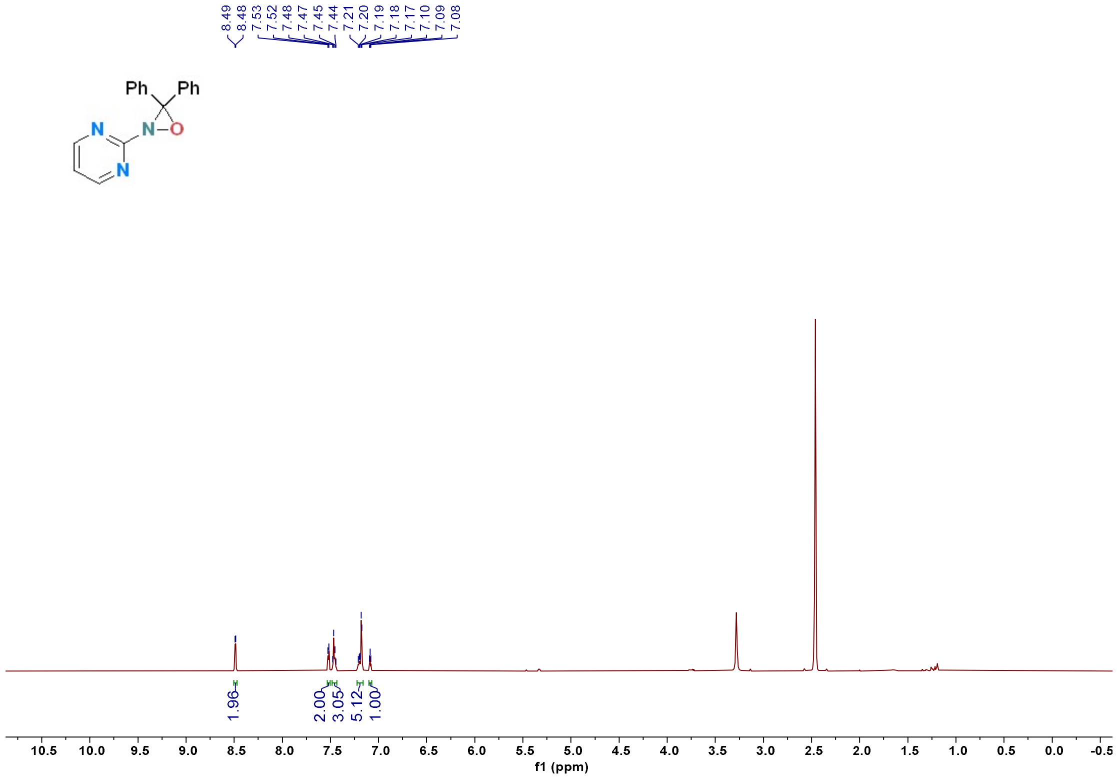
^{1}H NMR (600 MHz) Spectrum of 11 in DMSO-d_6



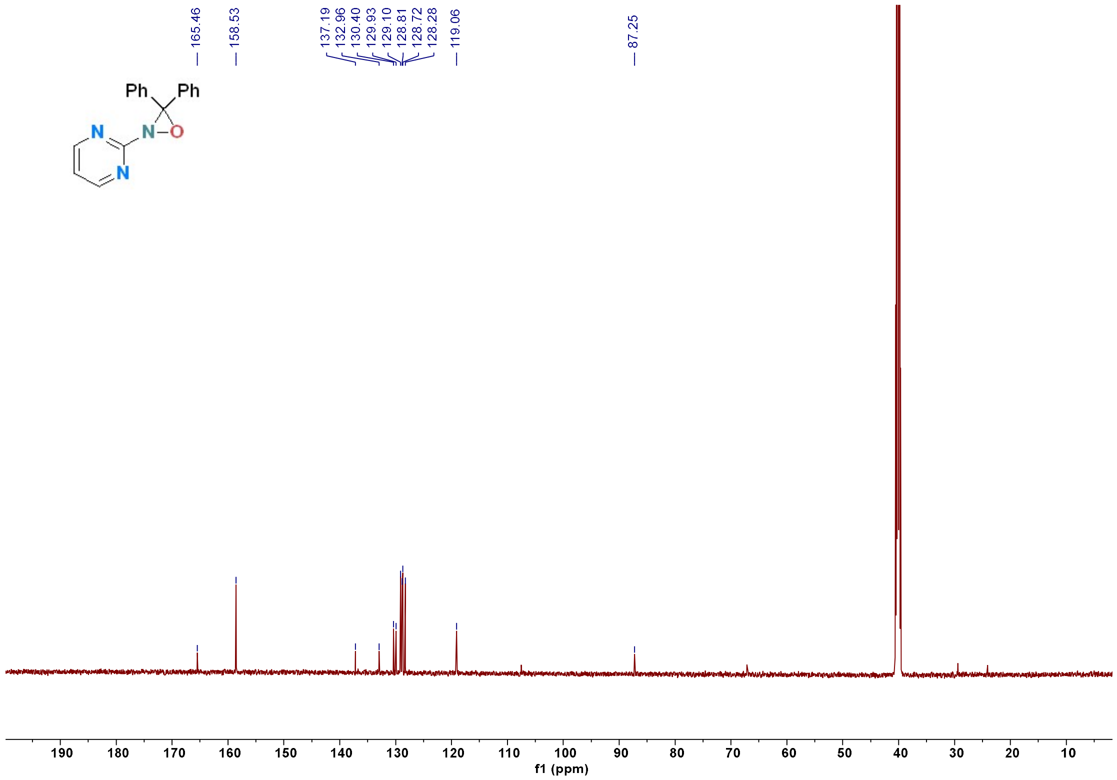
^{13}C NMR (150 MHz) Spectrum of 11 in DMSO-d_6



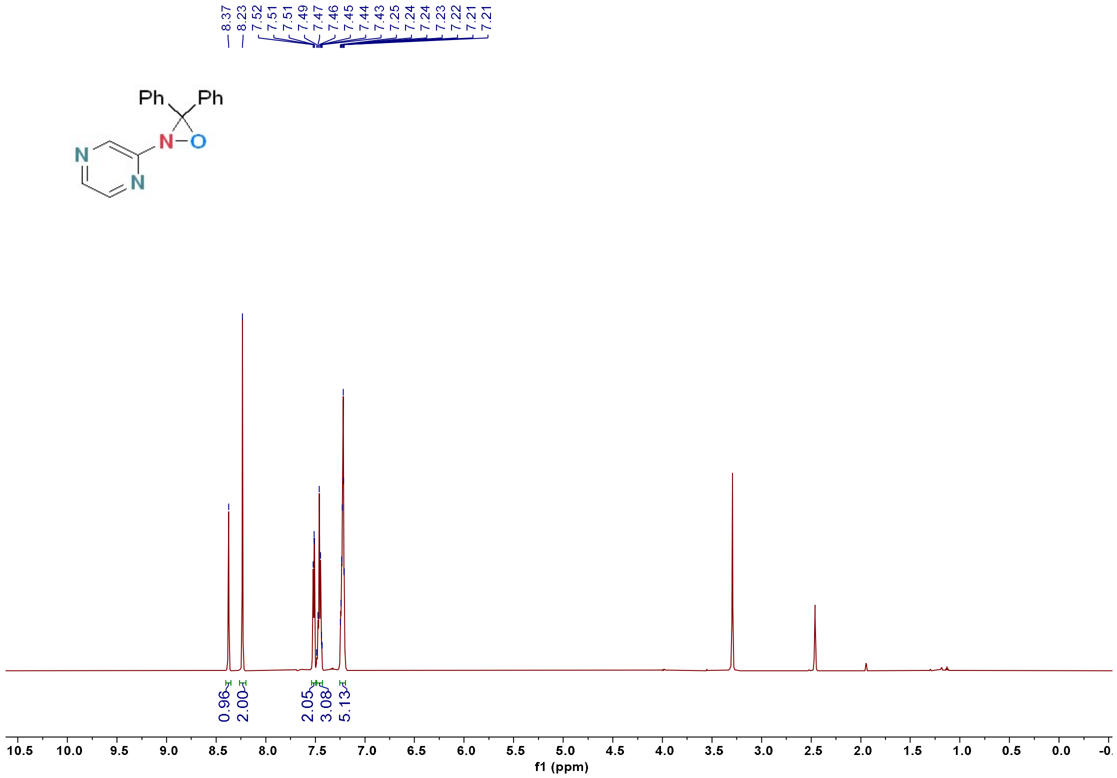
^{1}H NMR (600 MHz) Spectrum of 12 in DMSO-d_6



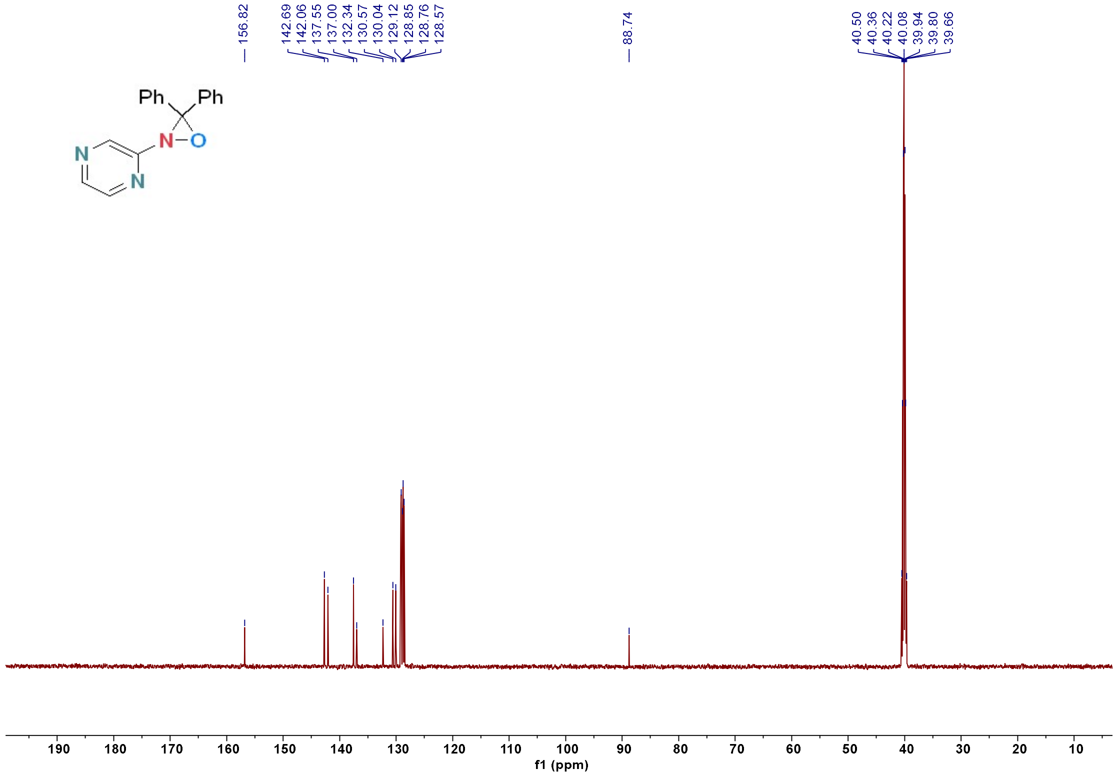
^{13}C NMR (150 MHz) Spectrum of 12 in DMSO-d_6



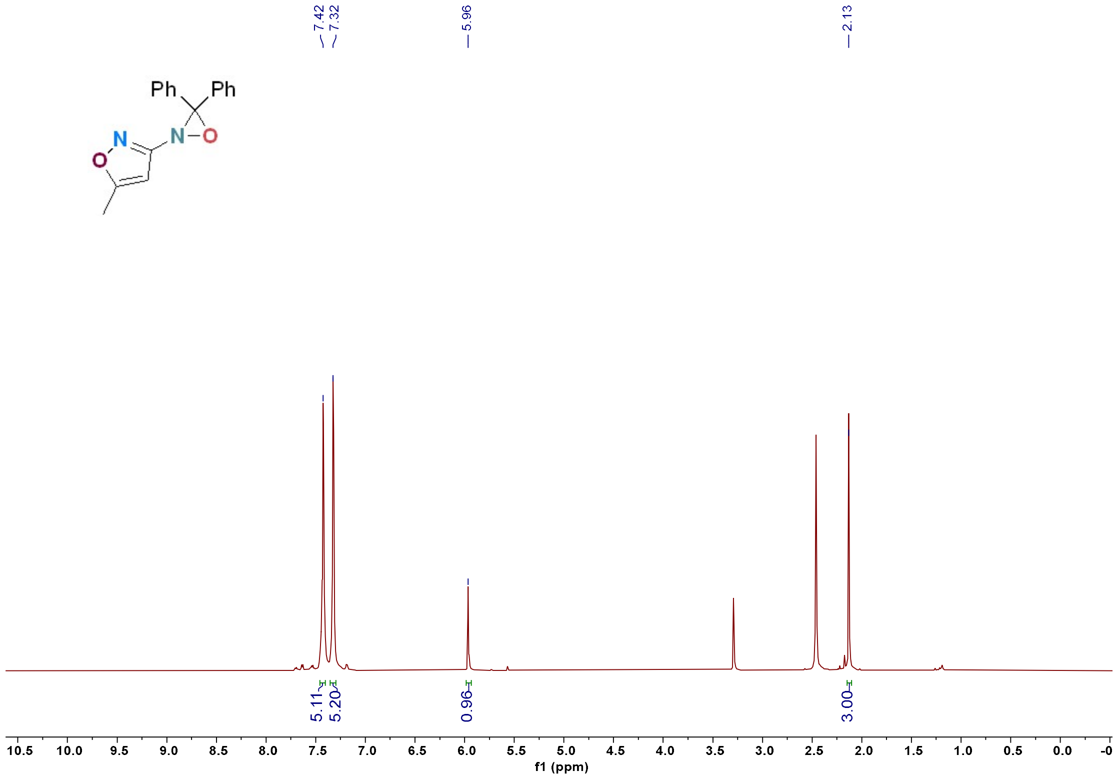
^{1}H NMR (600 MHz) Spectrum of 13 in DMSO-d_6



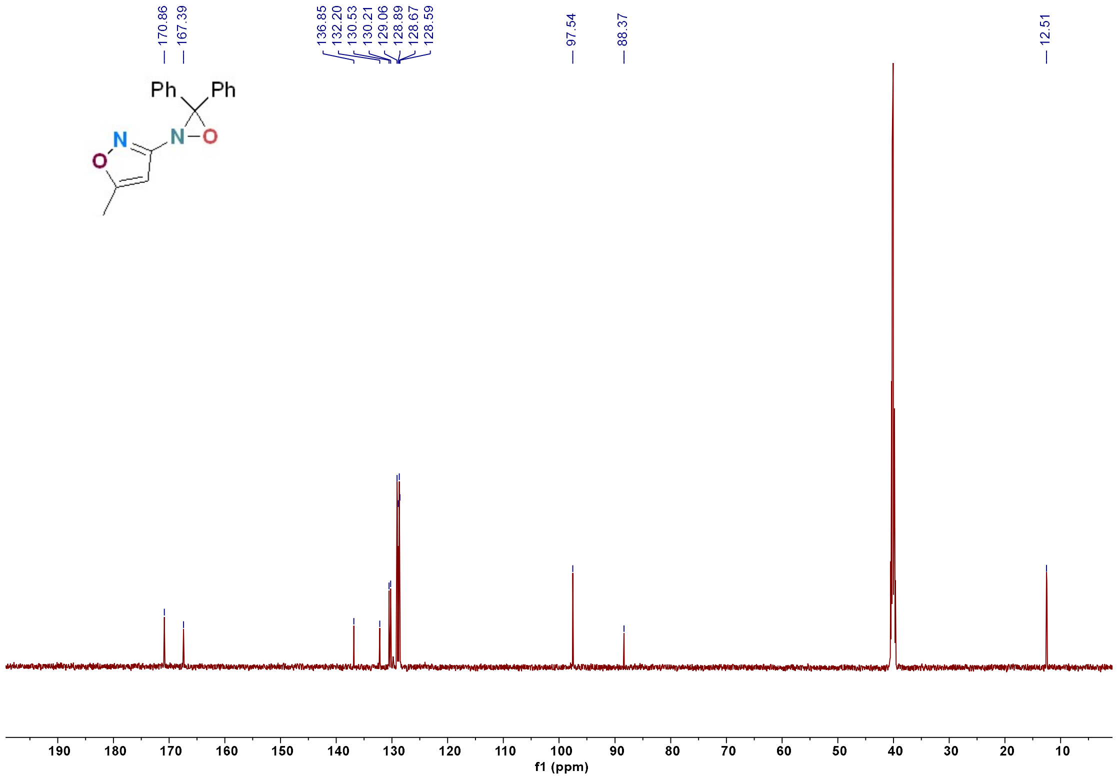
^{13}C NMR (150 MHz) Spectrum of 13 in DMSO-d_6



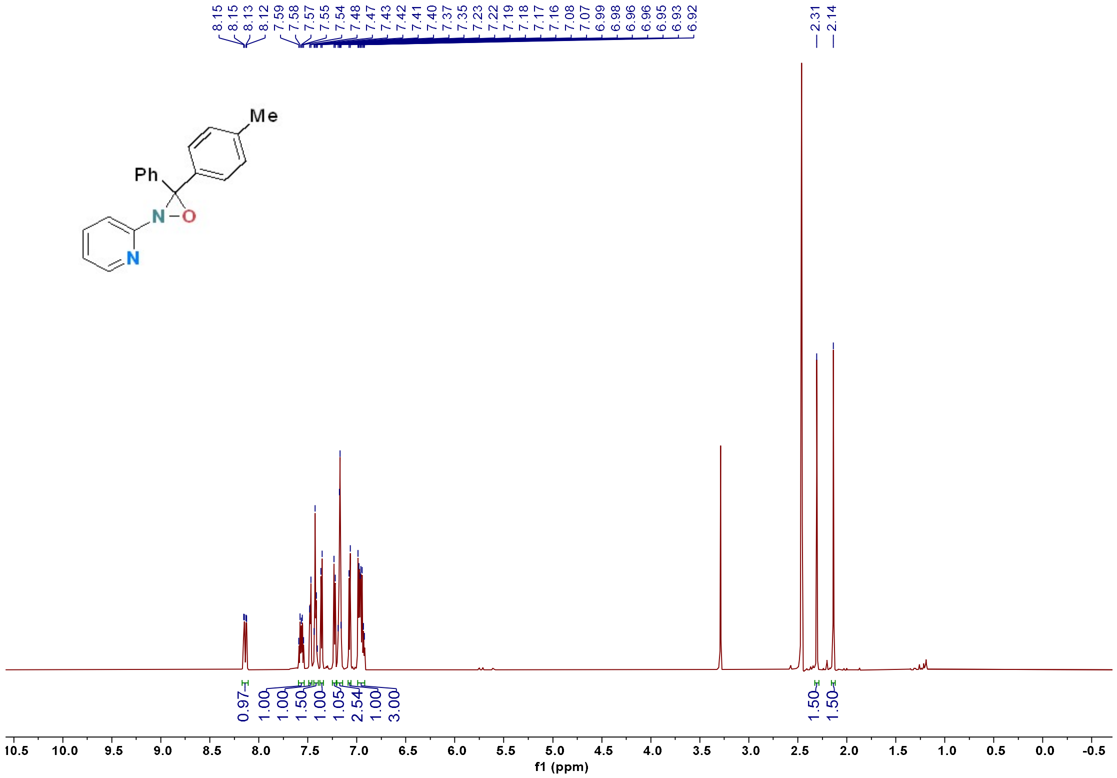
^{1}H NMR (600 MHz) Spectrum of 14 in DMSO-d_6



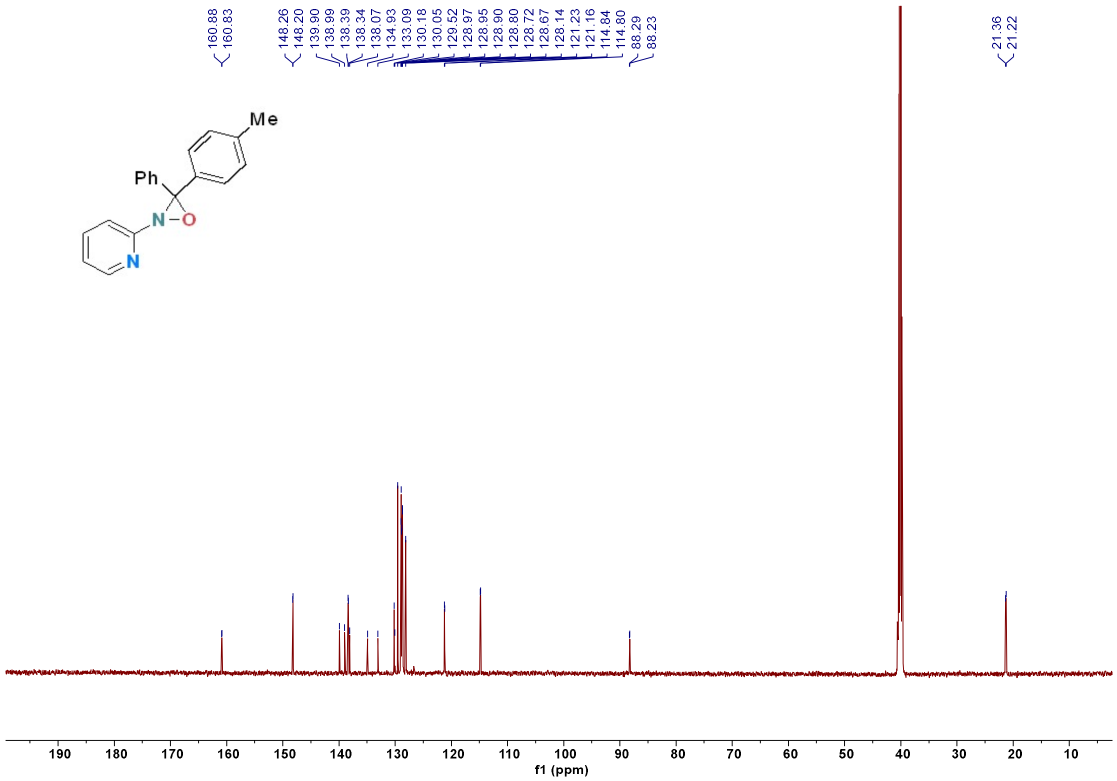
^{13}C NMR (150 MHz) Spectrum of 14 in DMSO-d_6



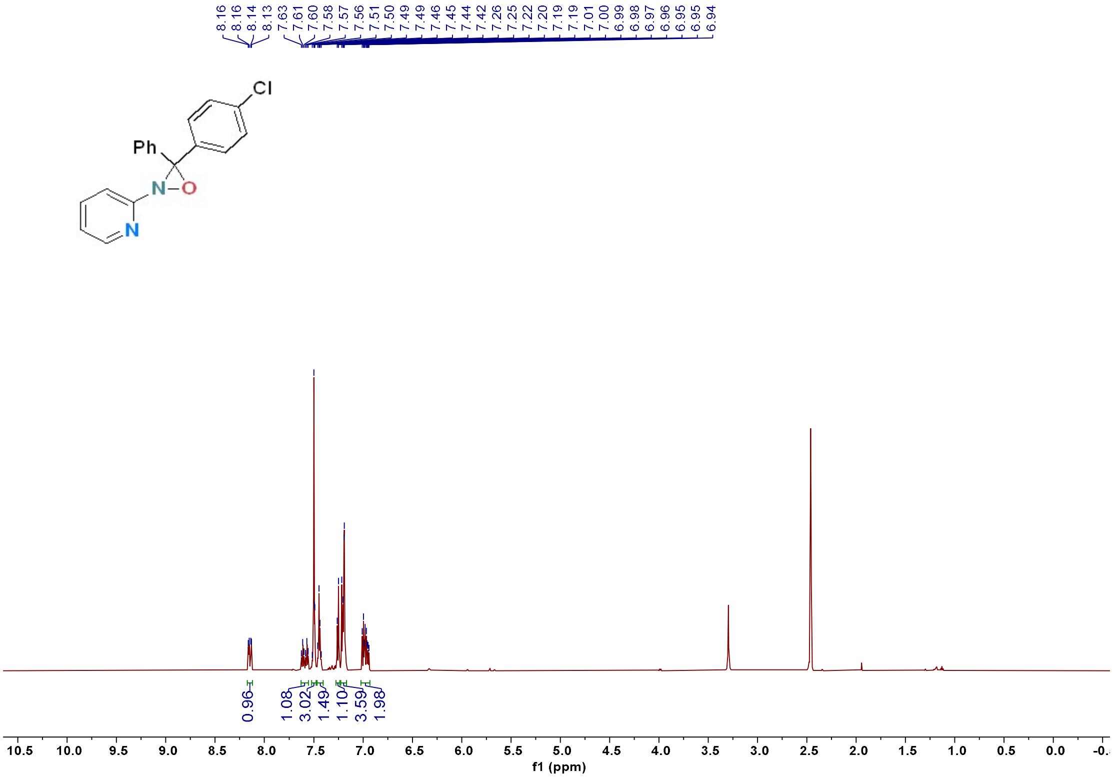
^{1}H NMR (600 MHz) Spectrum of 15 in DMSO-*d*$_6$



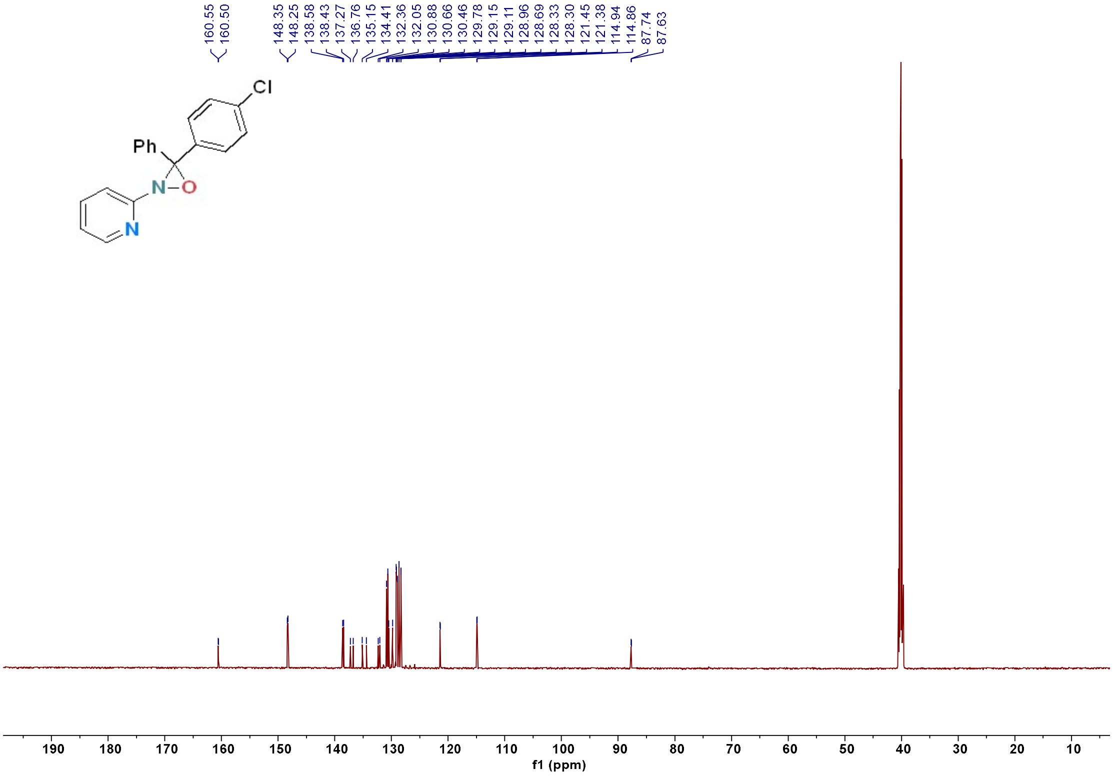
^{13}C NMR (150 MHz) Spectrum of 15 in DMSO-*d*$_6$



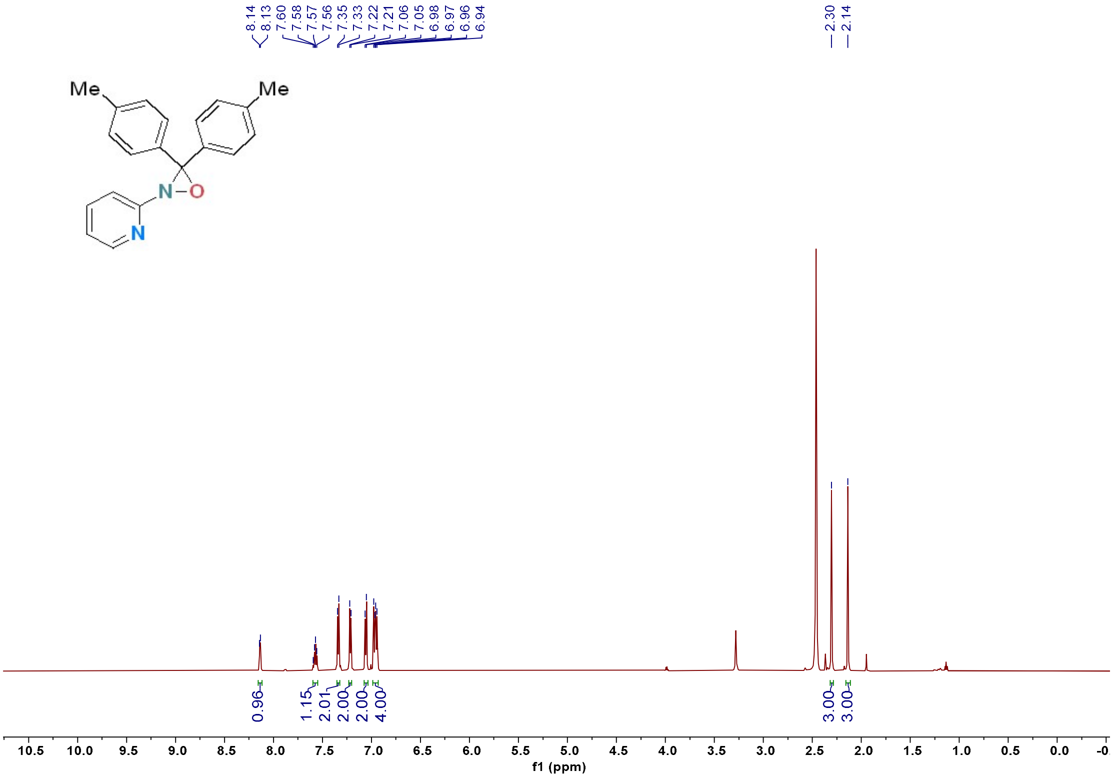
^{1}H NMR (600 MHz) Spectrum of 16 in DMSO-d_6



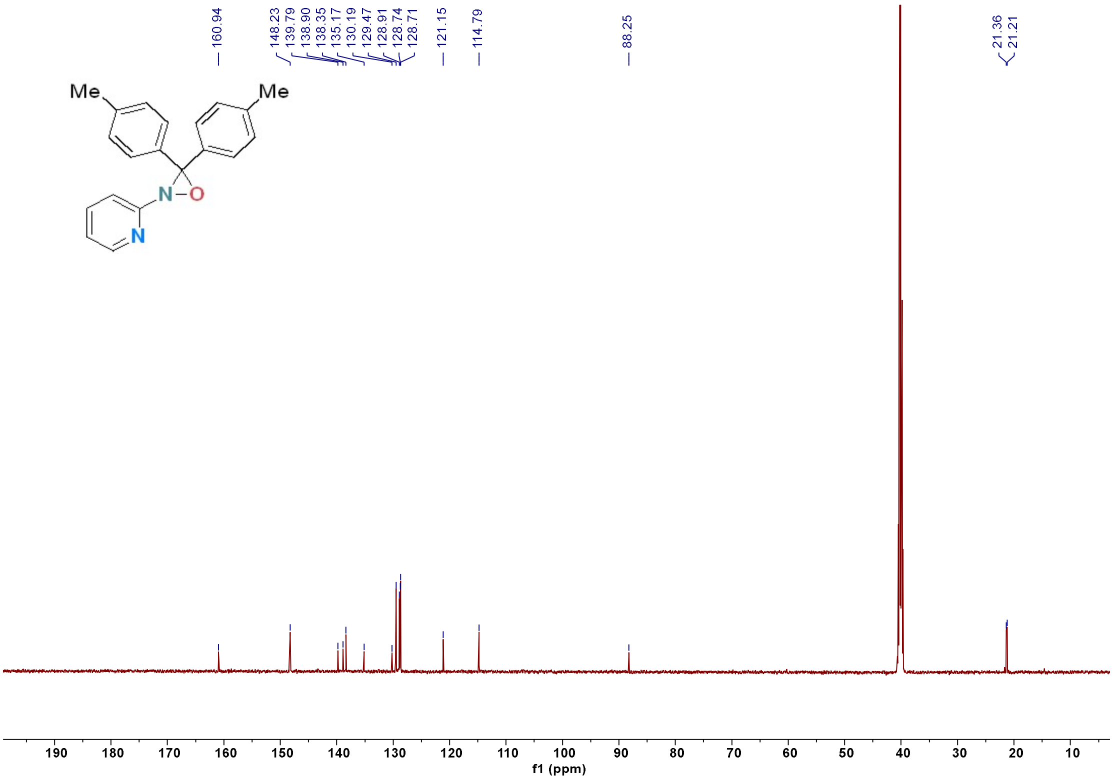
^{13}C NMR (150 MHz) Spectrum of 16 in DMSO-d_6



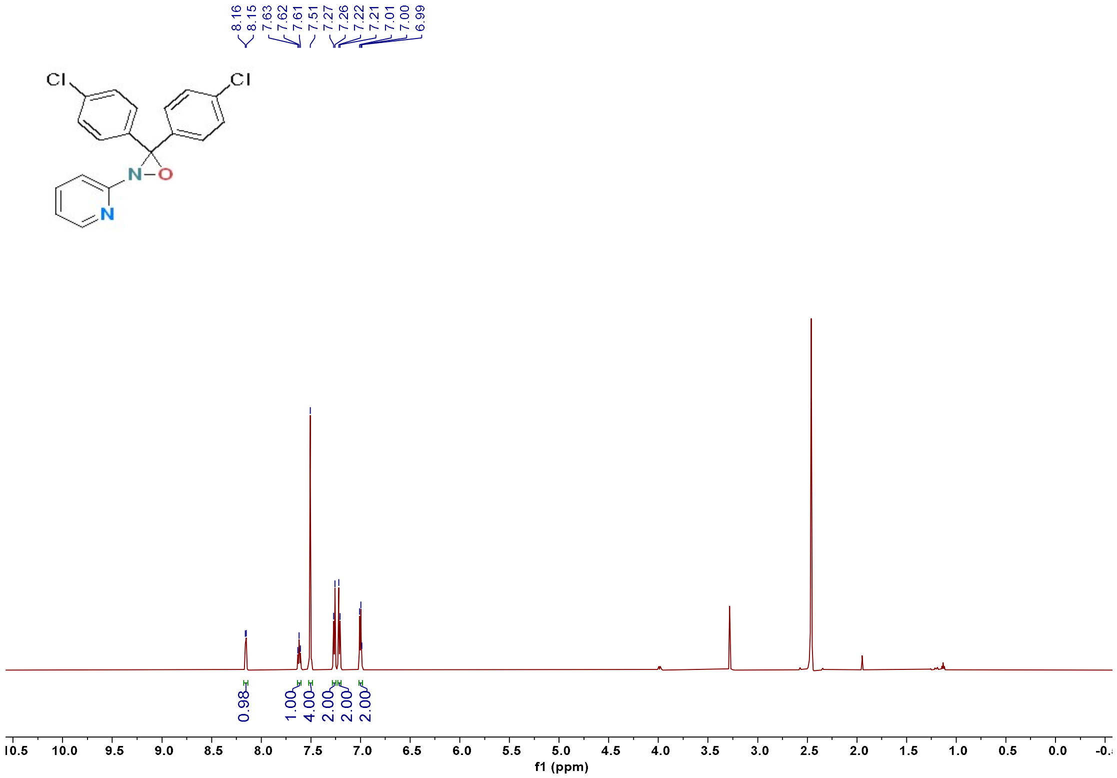
^{1}H NMR (600 MHz) Spectrum of 17 in DMSO-d_6



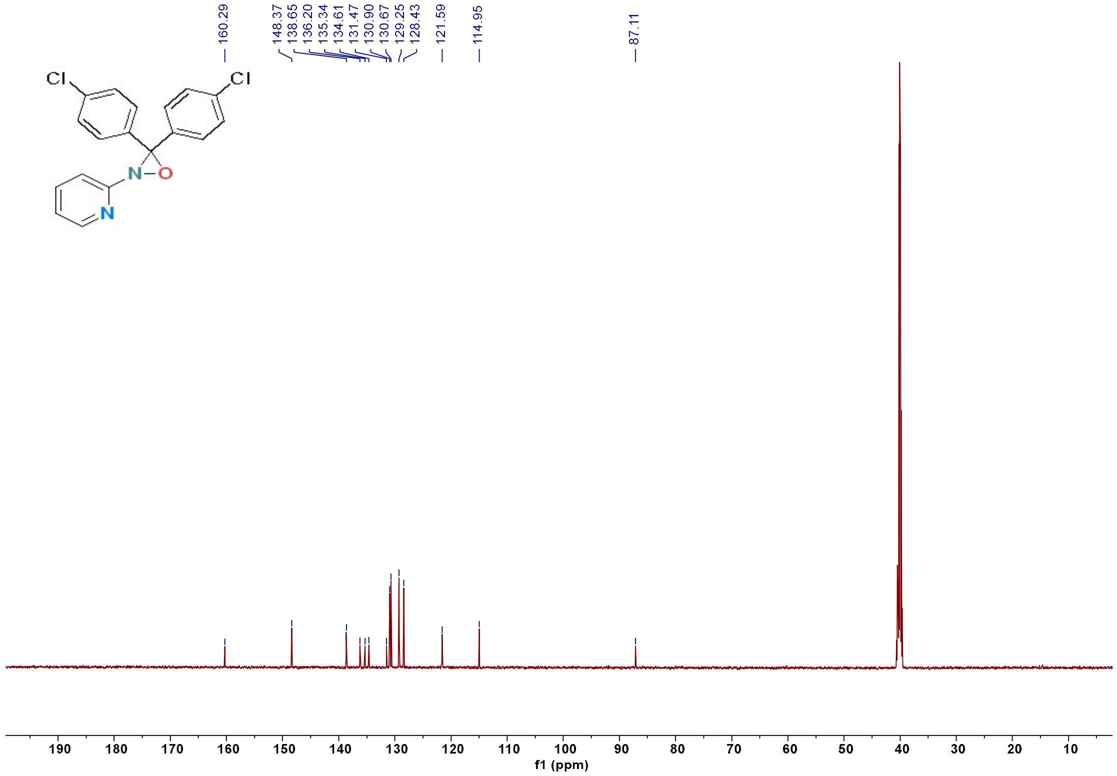
^{13}C NMR (150 MHz) Spectrum of 17 in DMSO-d_6



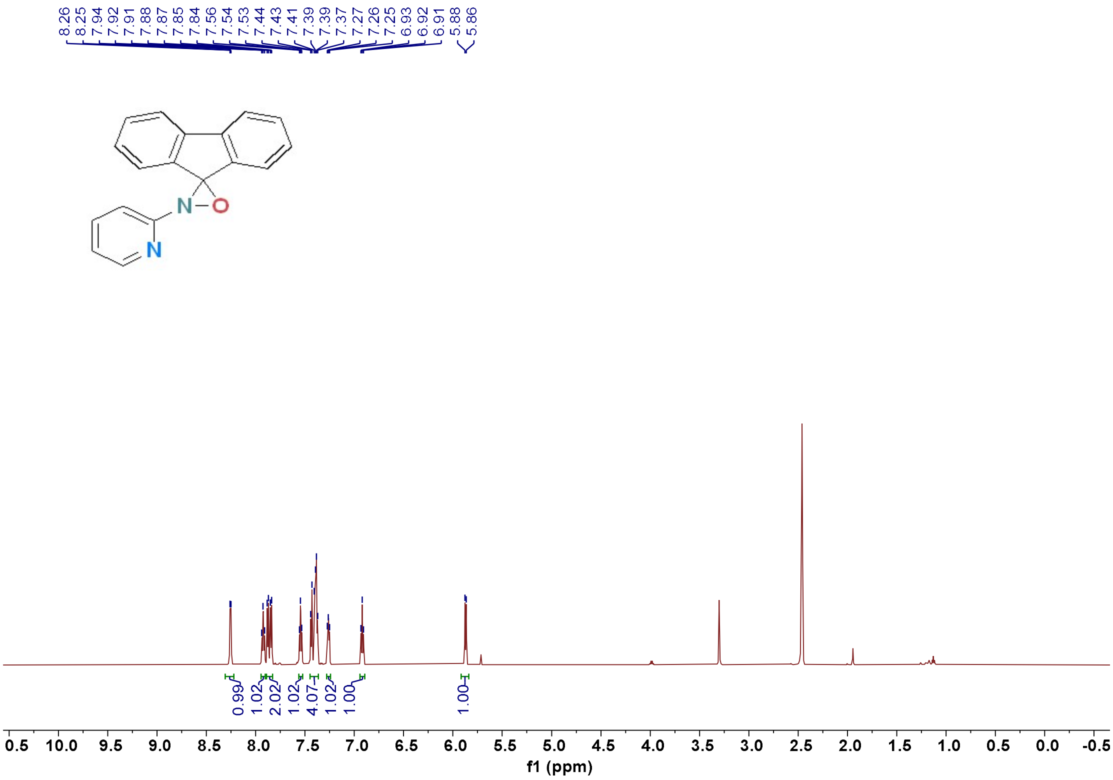
^{1}H NMR (600 MHz) Spectrum of 18 in DMSO-d_6



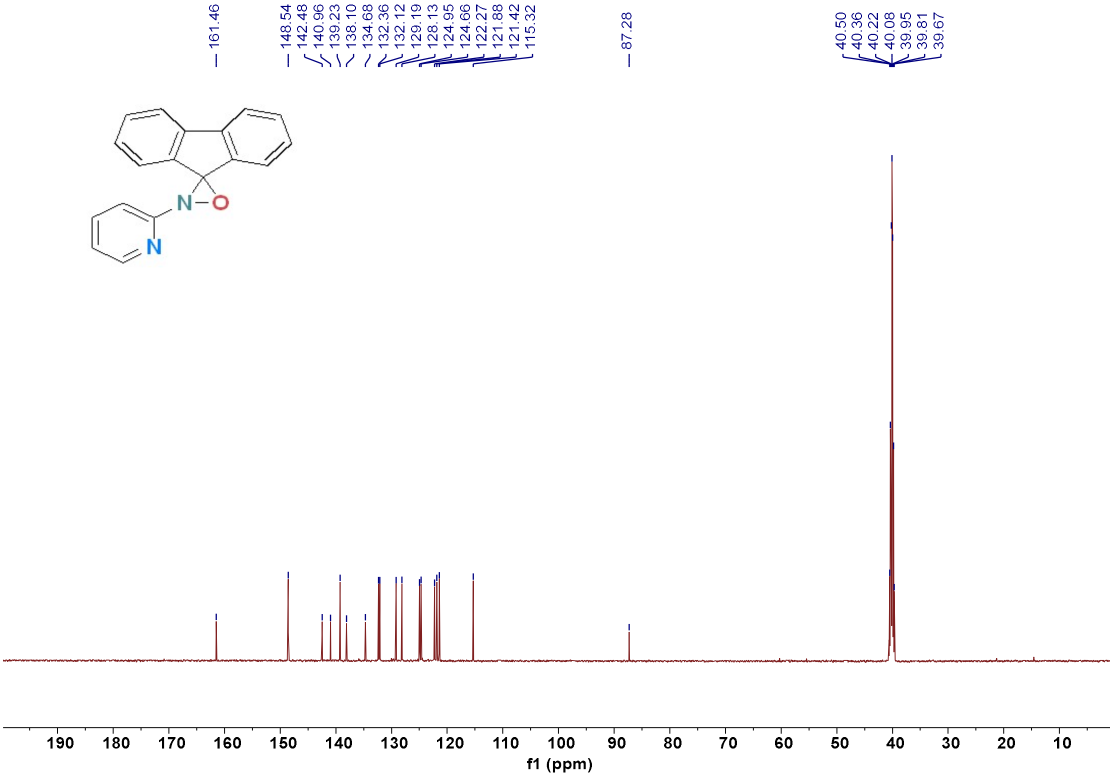
^{13}C NMR (150 MHz) Spectrum of 18 in DMSO-d_6



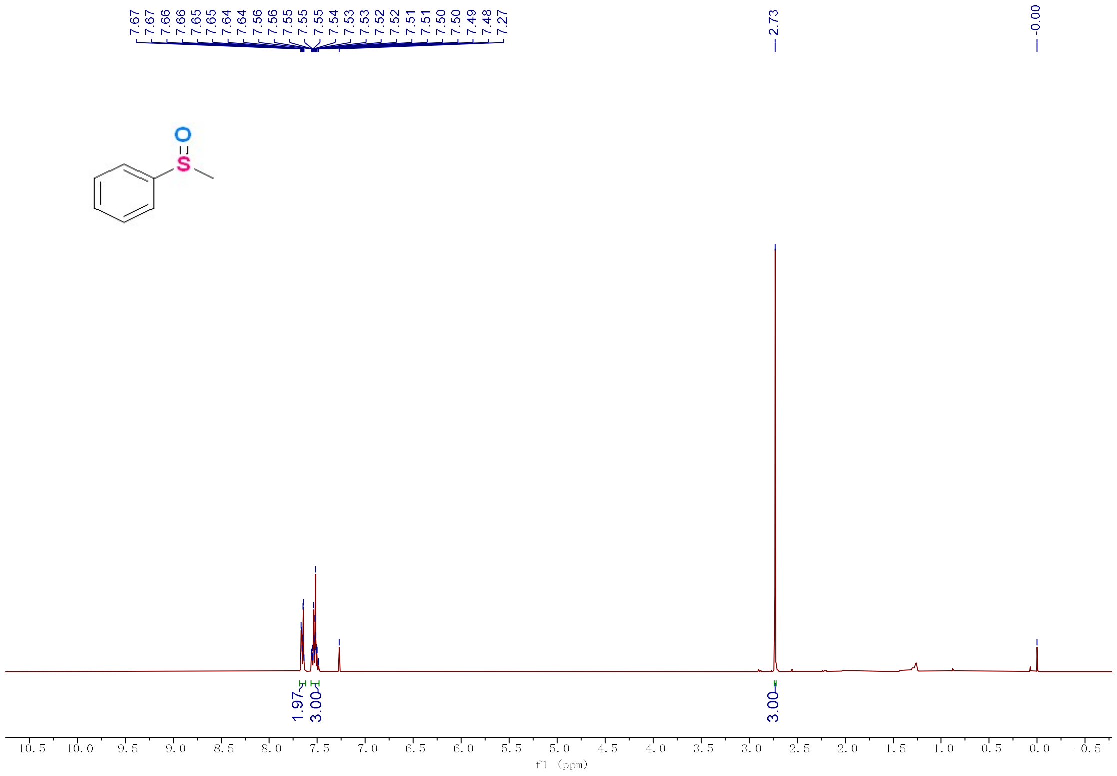
^{1}H NMR (600 MHz) Spectrum of 19 in DMSO-*d*$_6$



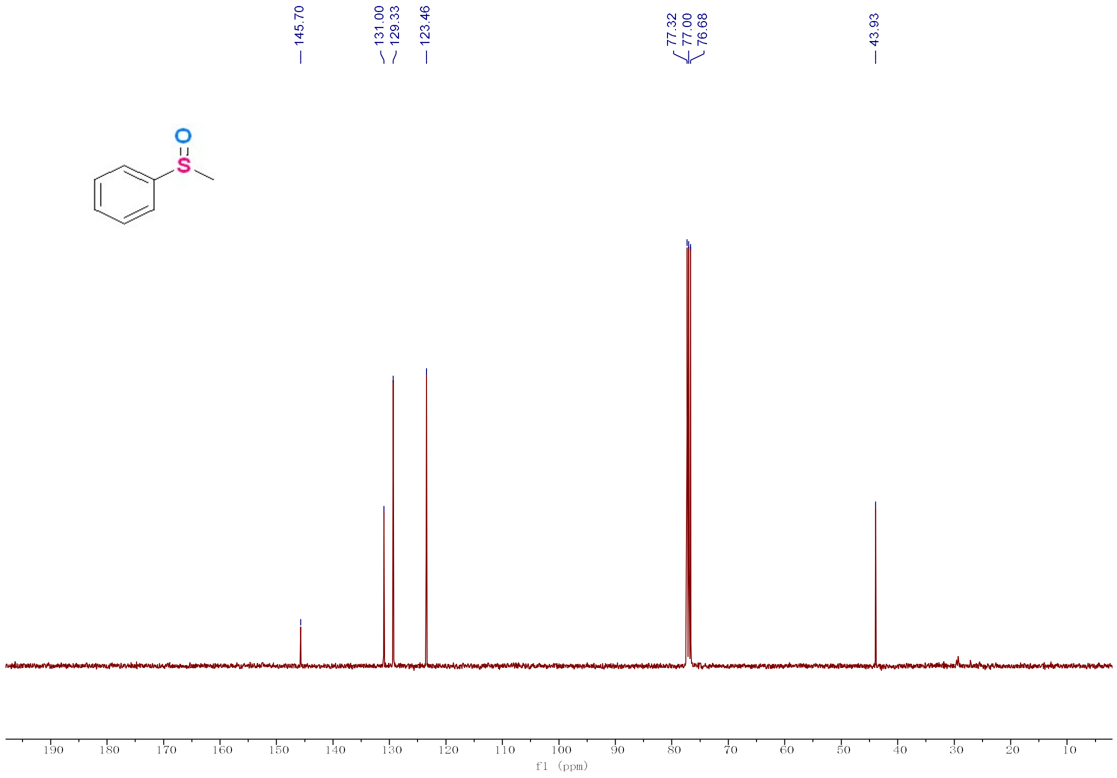
^{13}C NMR (150 MHz) Spectrum of 19 in DMSO-*d*$_6$



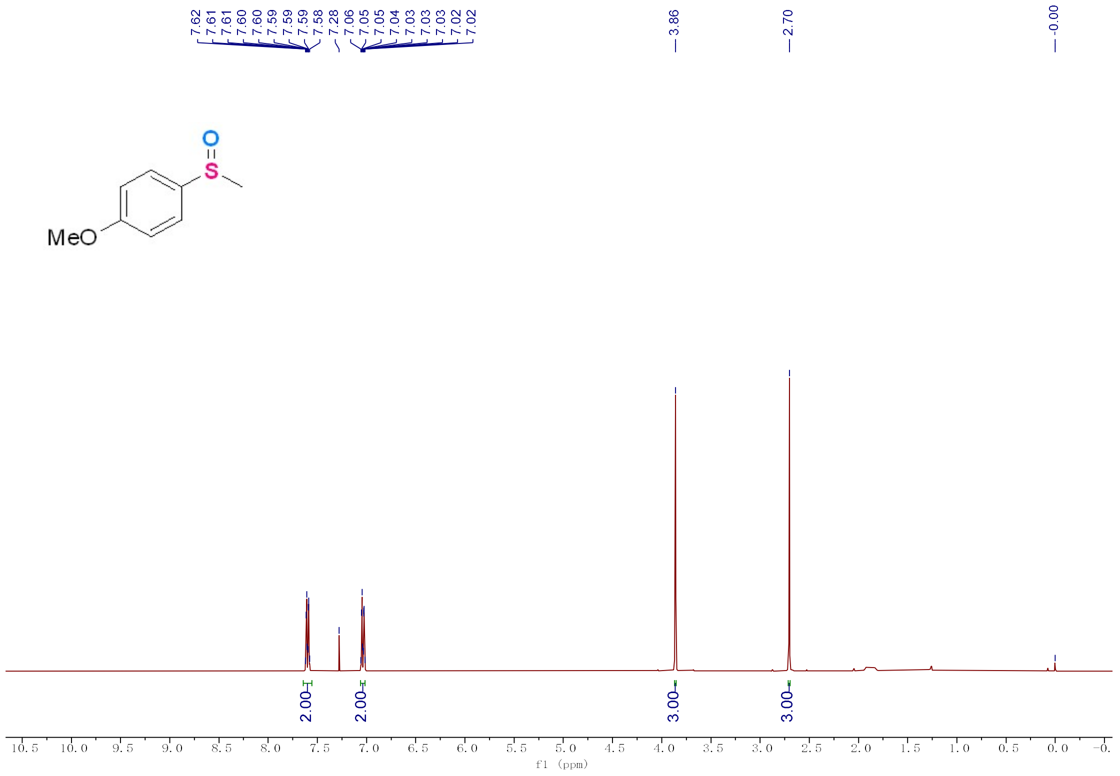
^{1}H NMR (400 MHz) Spectrum of 21 in $CDCl_3$



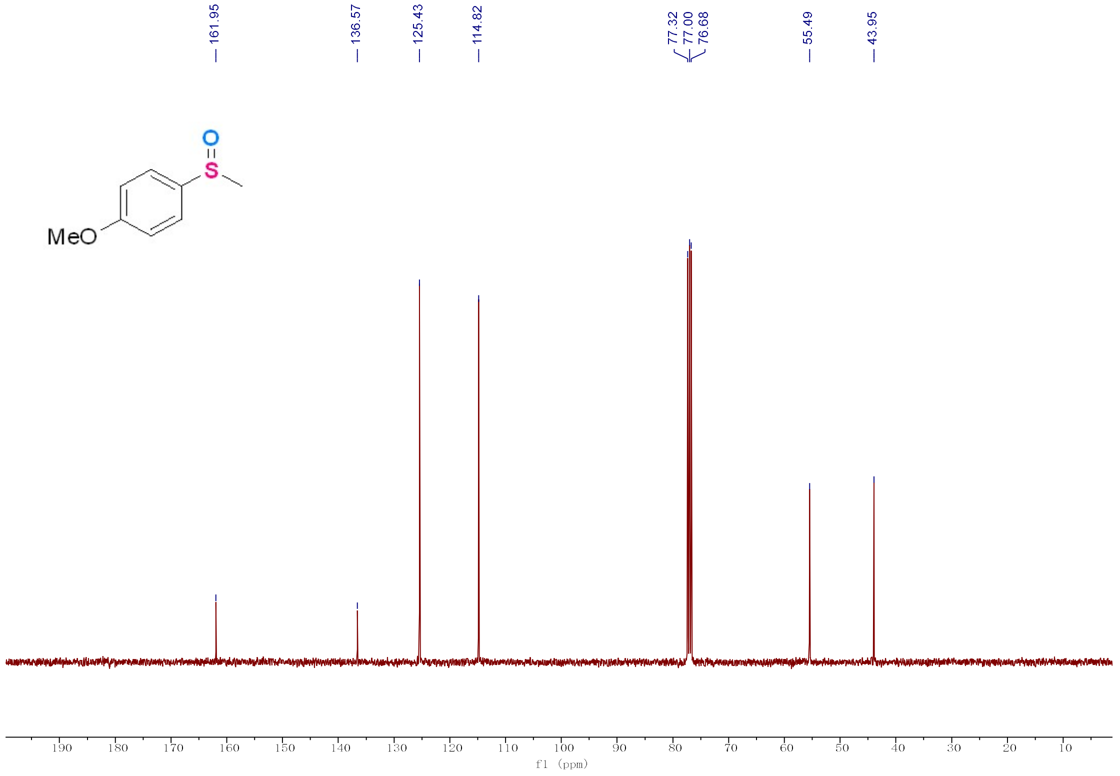
^{13}C NMR (100 MHz) Spectrum of 21 in $CDCl_3$



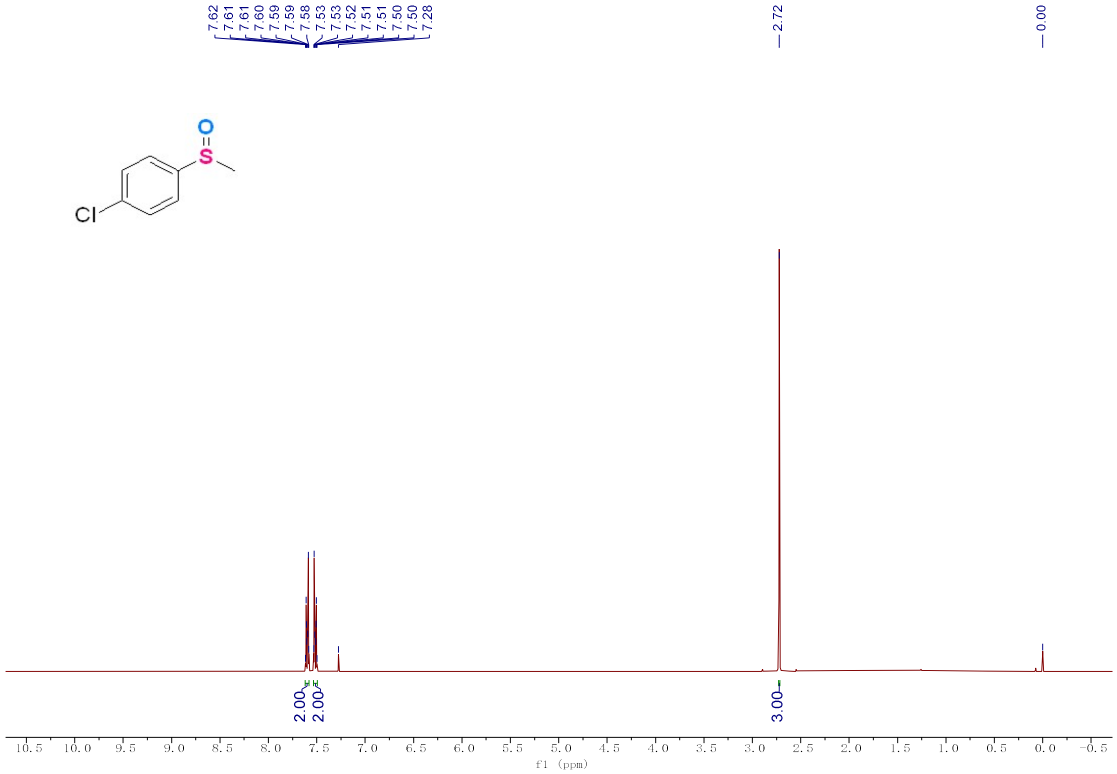
^{1}H NMR (400 MHz) Spectrum of 22 in $CDCl_3$



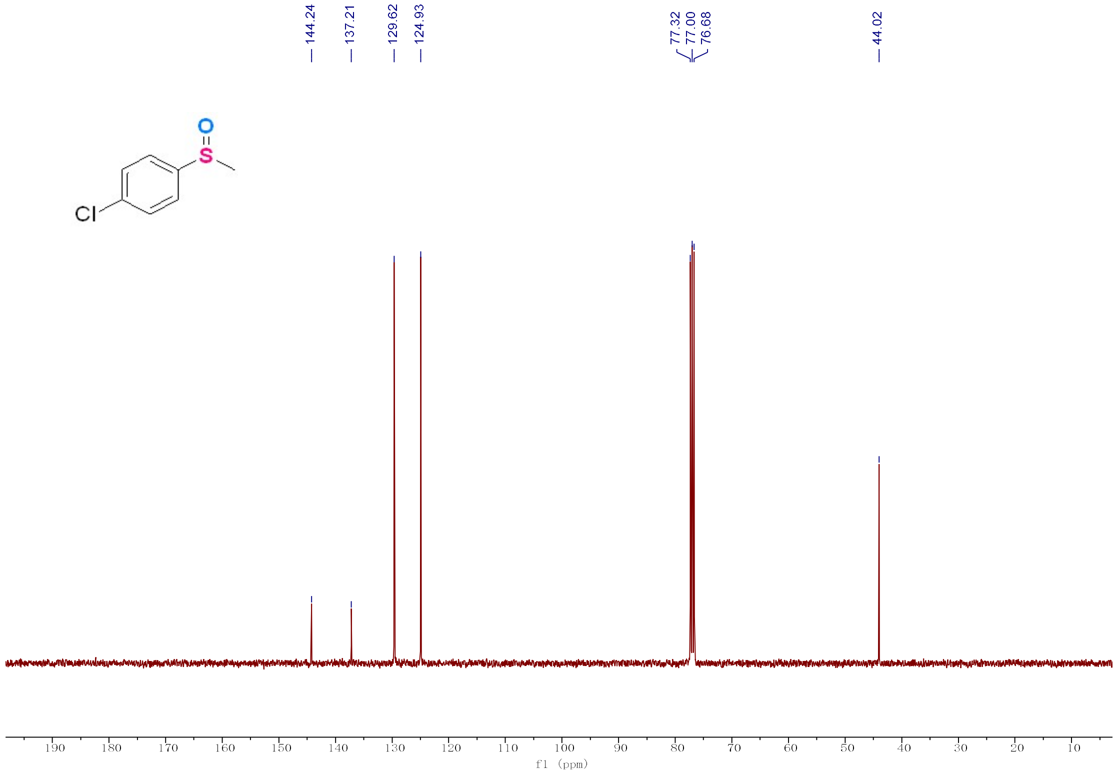
^{13}C NMR (100 MHz) Spectrum of 22 in $CDCl_3$



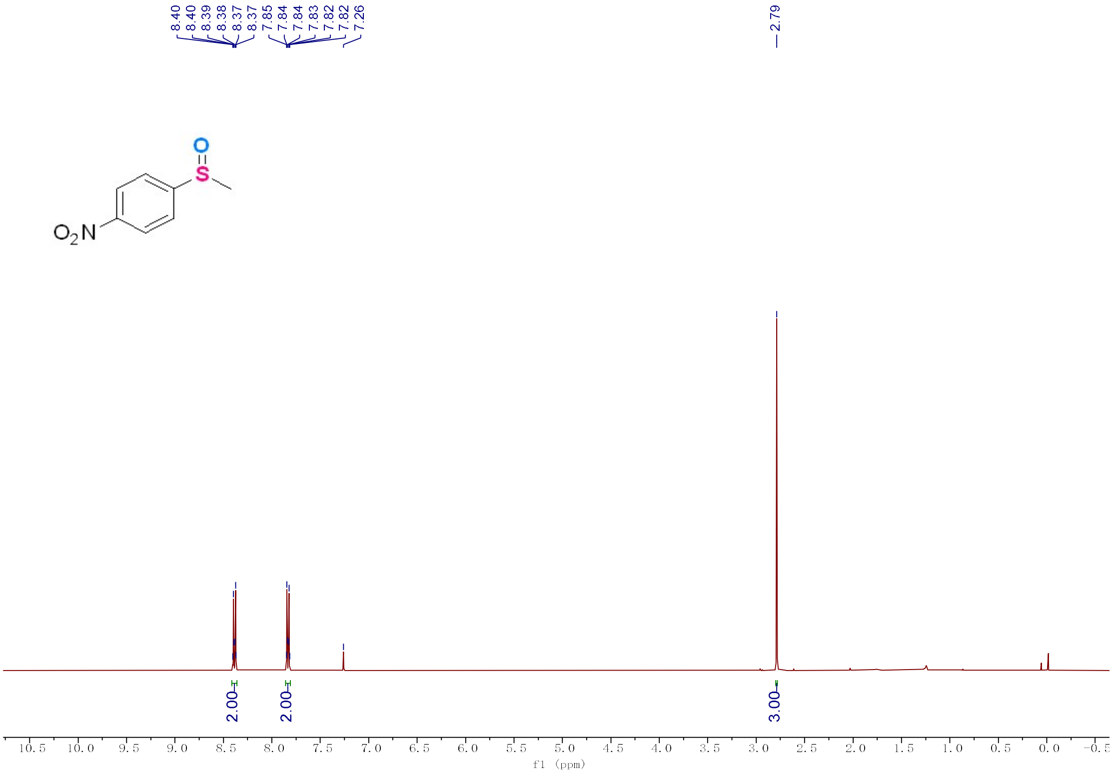
^{1}H NMR (400 MHz) Spectrum of 23 in $CDCl_3$



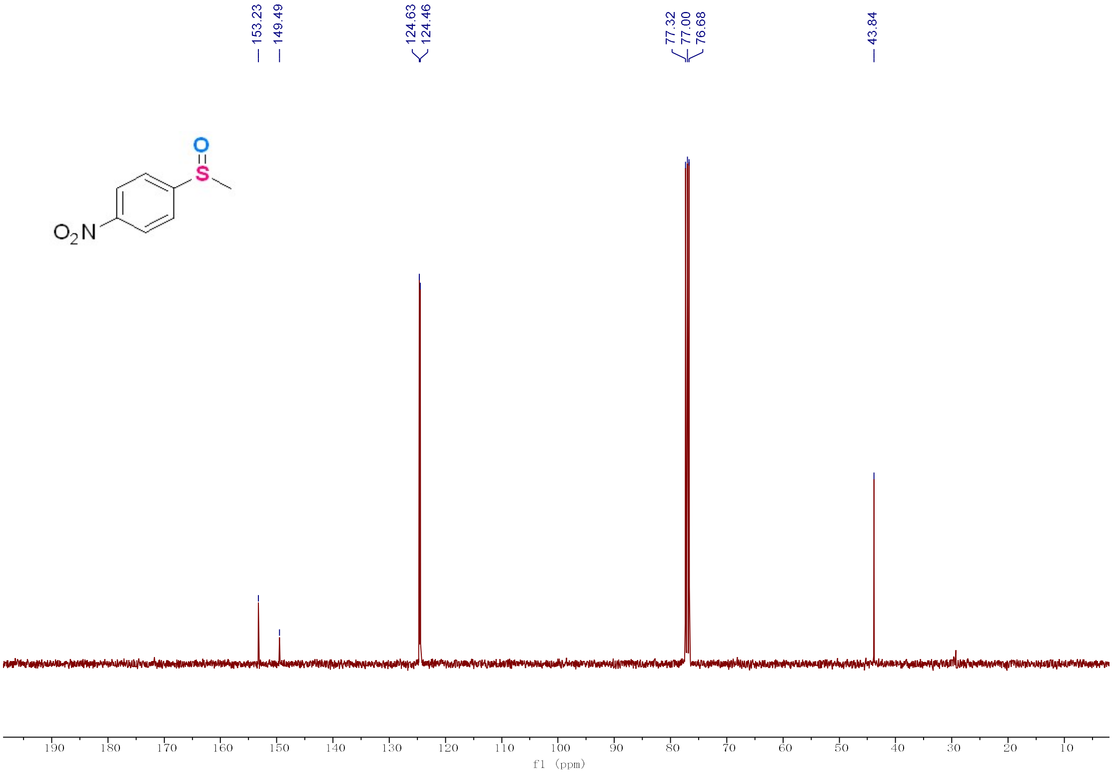
^{13}C NMR (100 MHz) Spectrum of 23 in $CDCl_3$



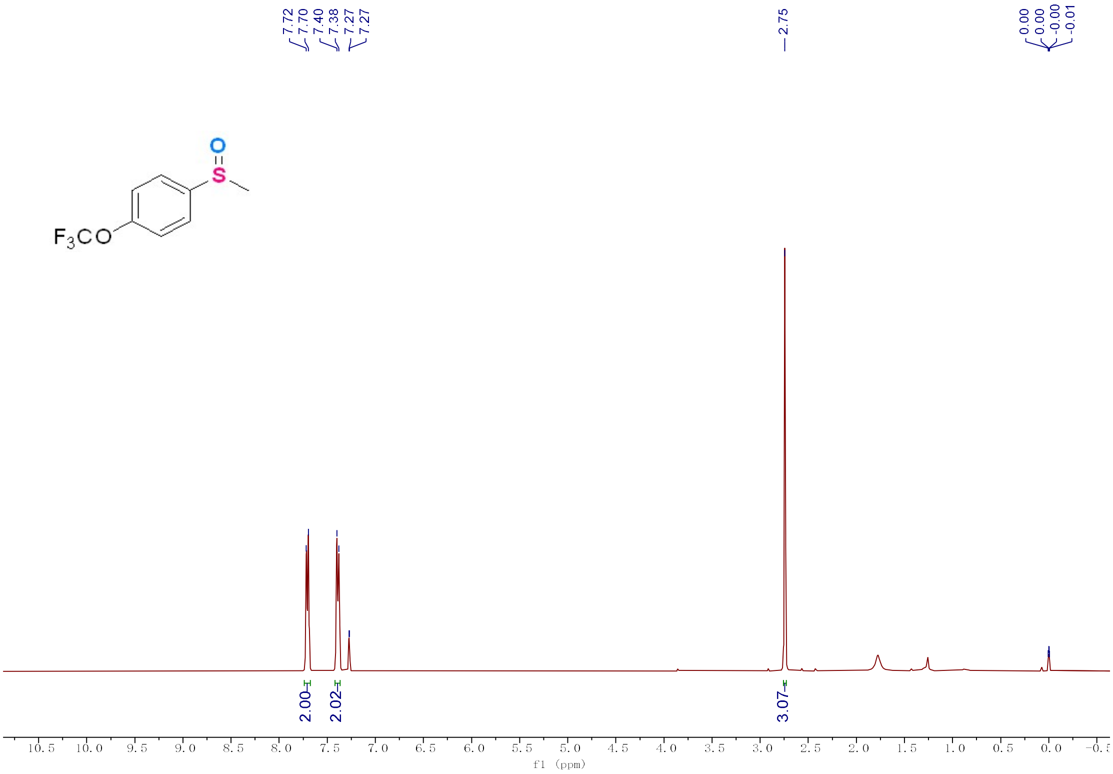
^{1}H NMR (400 MHz) Spectrum of 24 in CDCl$_3$



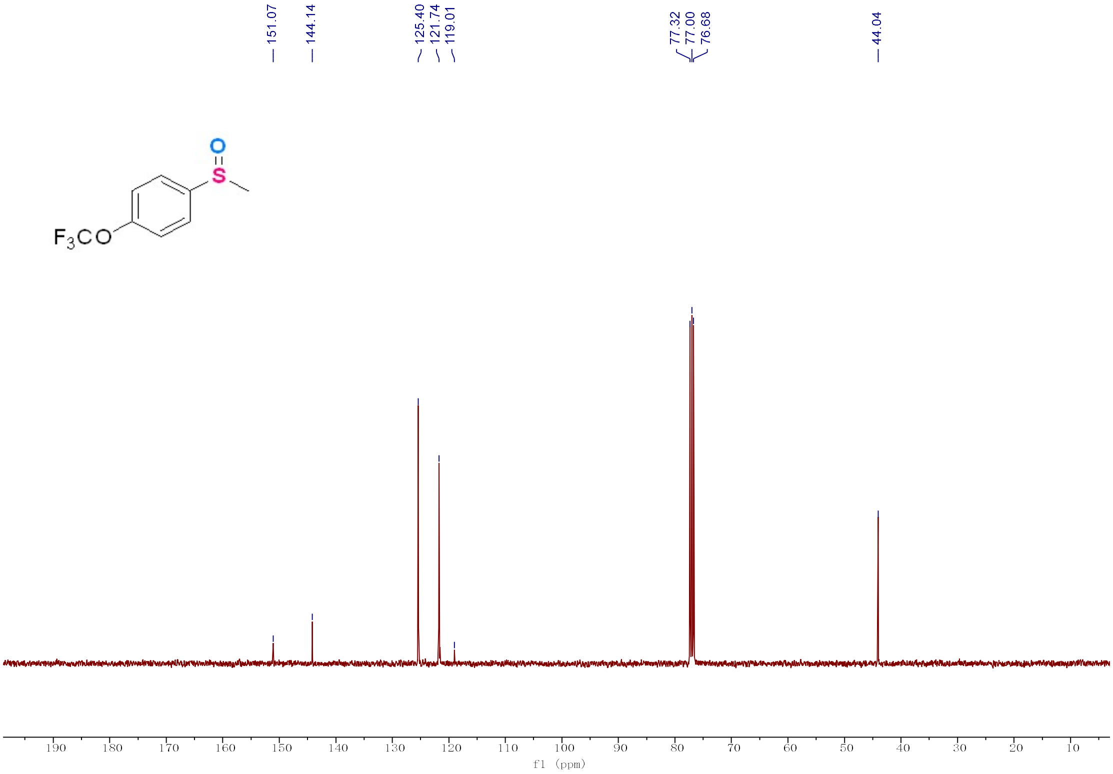
^{13}C NMR (100 MHz) Spectrum of 24 in CDCl$_3$



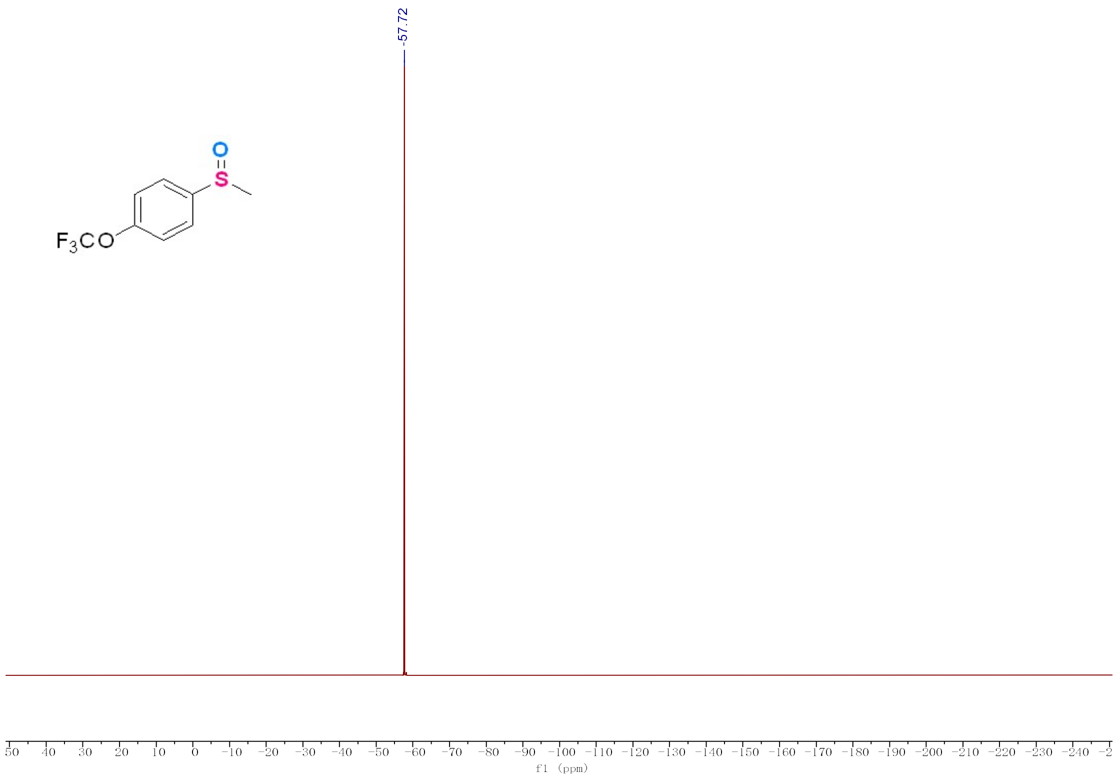
^{1}H NMR (400 MHz) Spectrum of 25 in CDCl$_3$



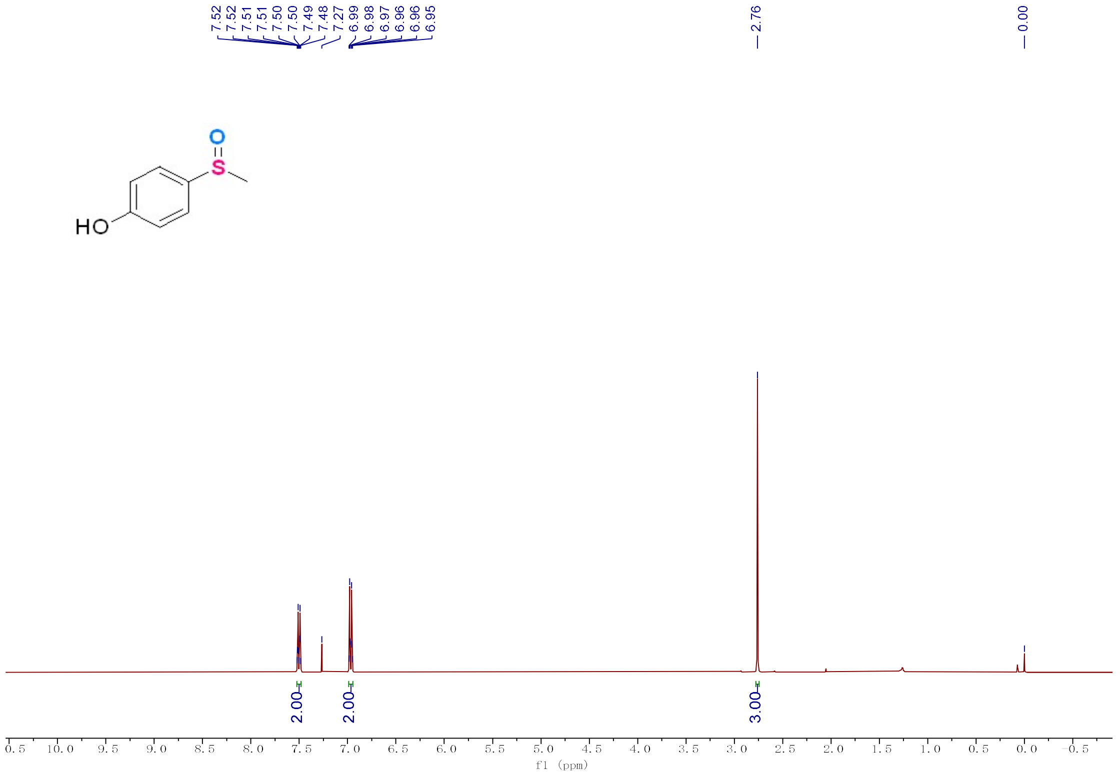
^{13}C NMR (100 MHz) Spectrum of 25 in CDCl$_3$



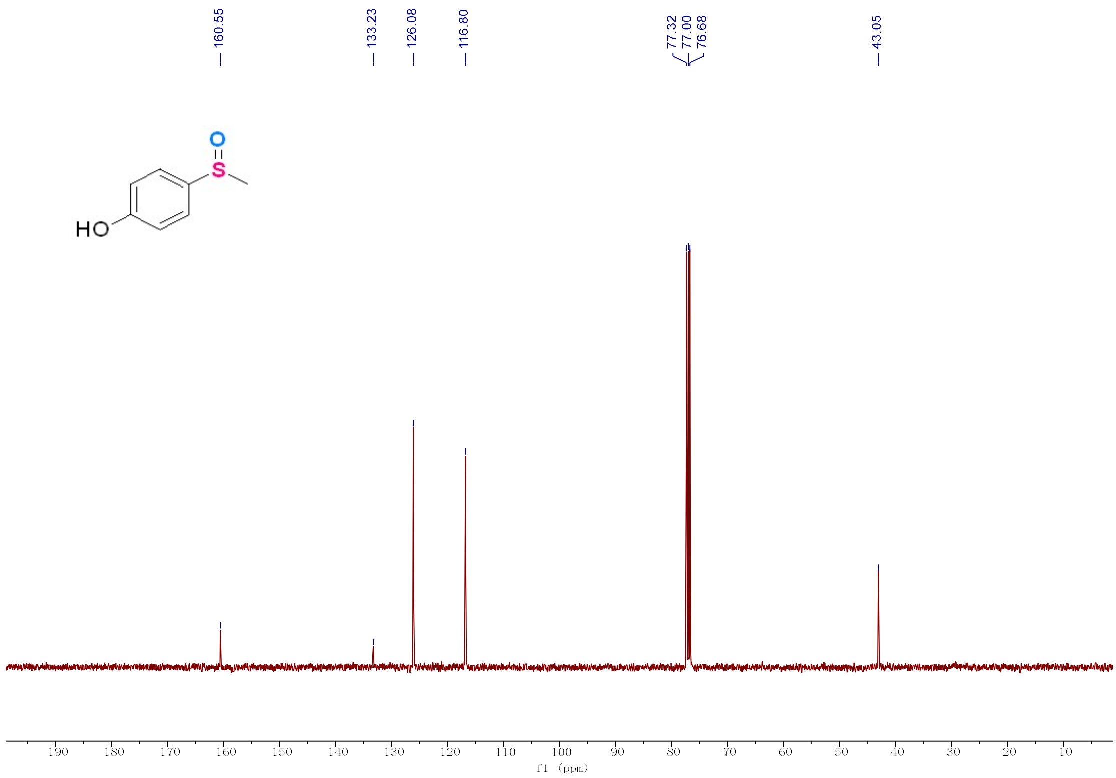
^{19}F NMR (376 MHz) Spectrum of 25 in CDCl$_3$



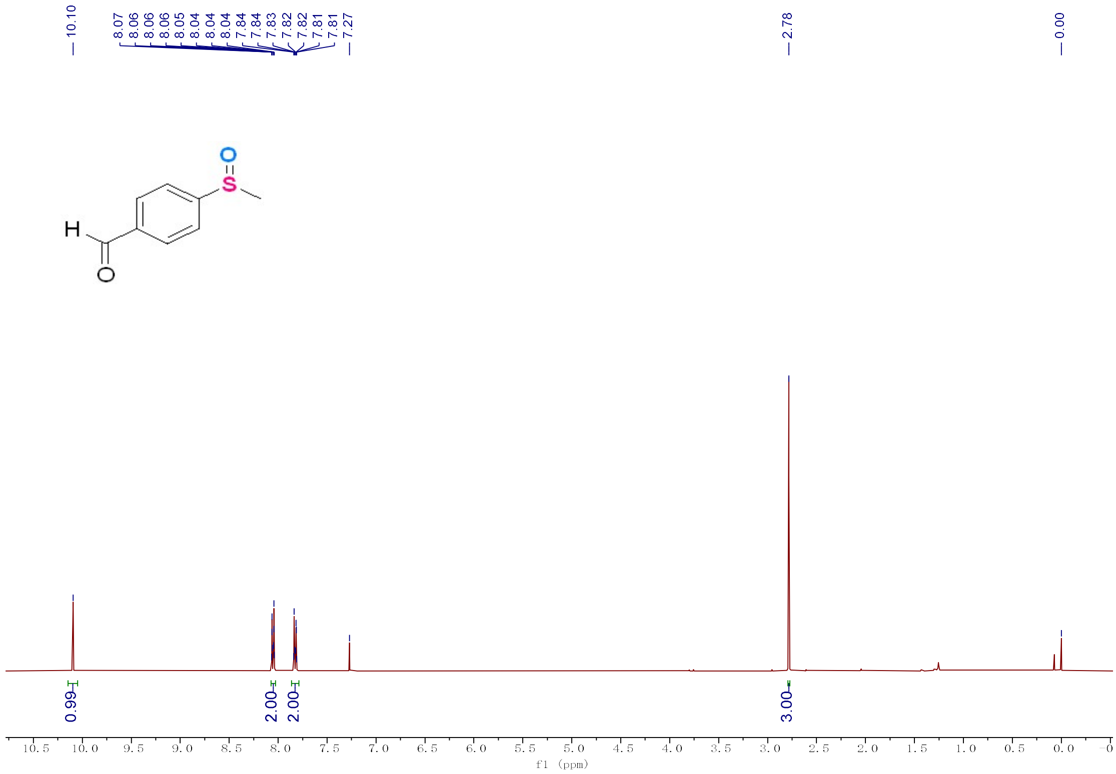
^{1}H NMR (400 MHz) Spectrum of 26 in CDCl$_3$



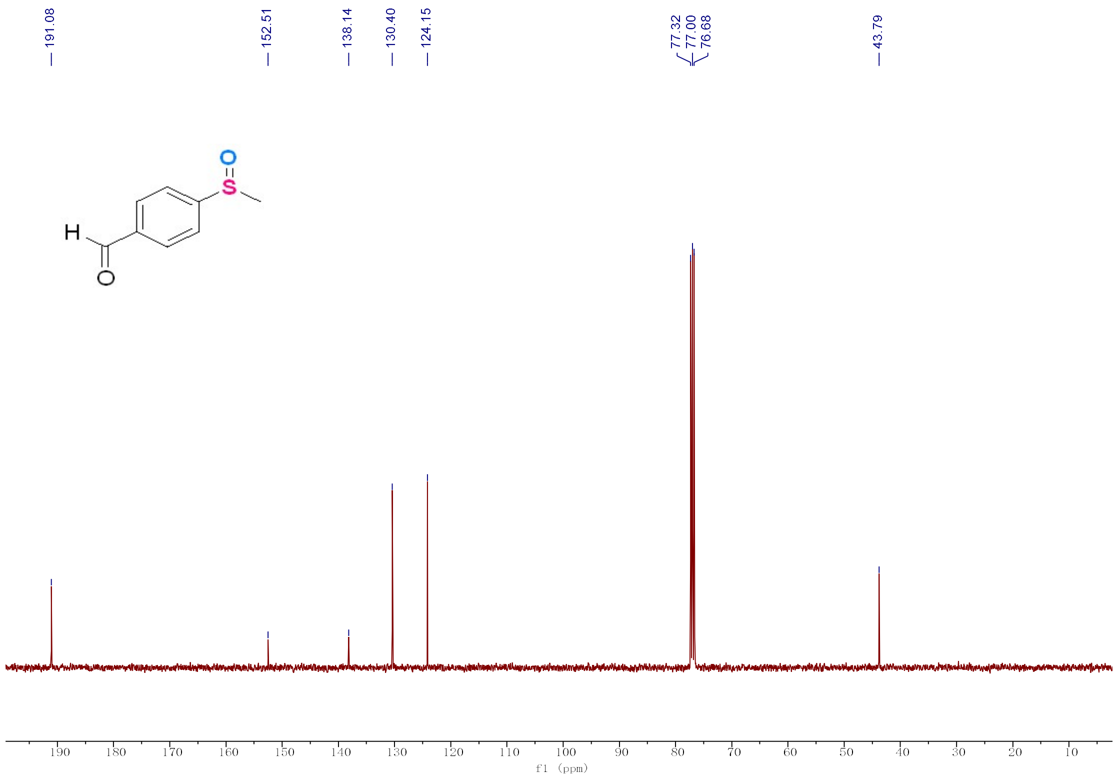
^{13}C NMR (100 MHz) Spectrum of 26 in CDCl$_3$



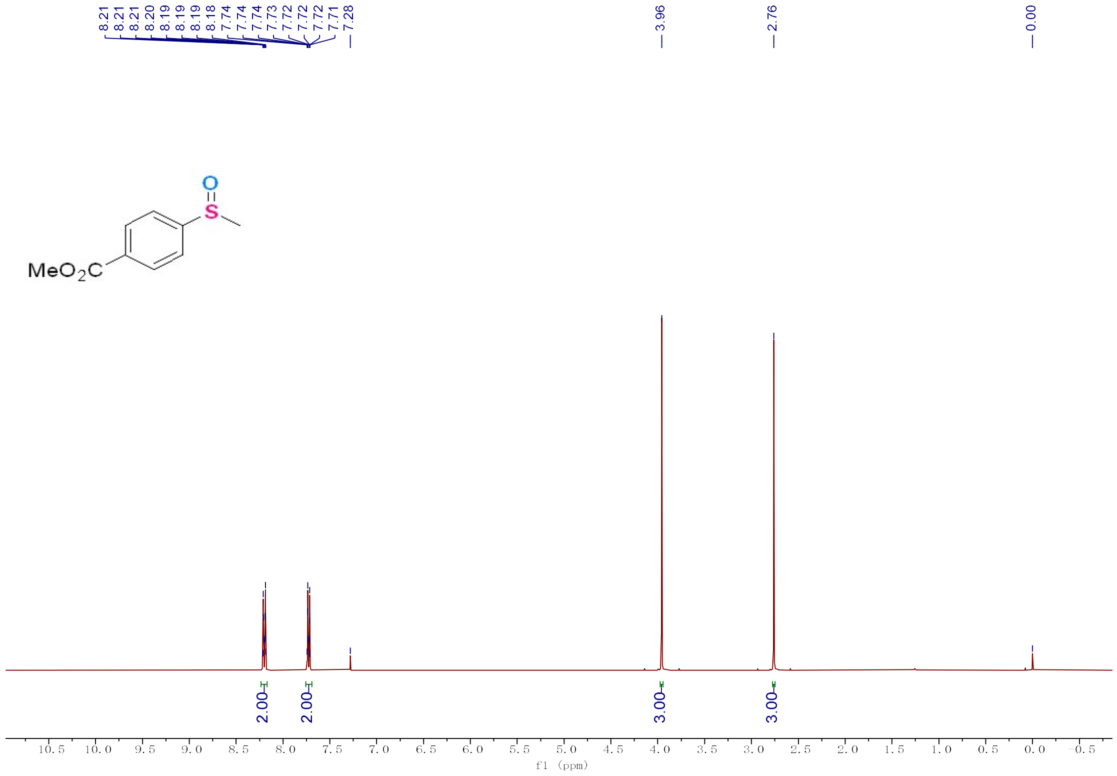
^{1}H NMR (400 MHz) Spectrum of 27 in CDCl$_3$



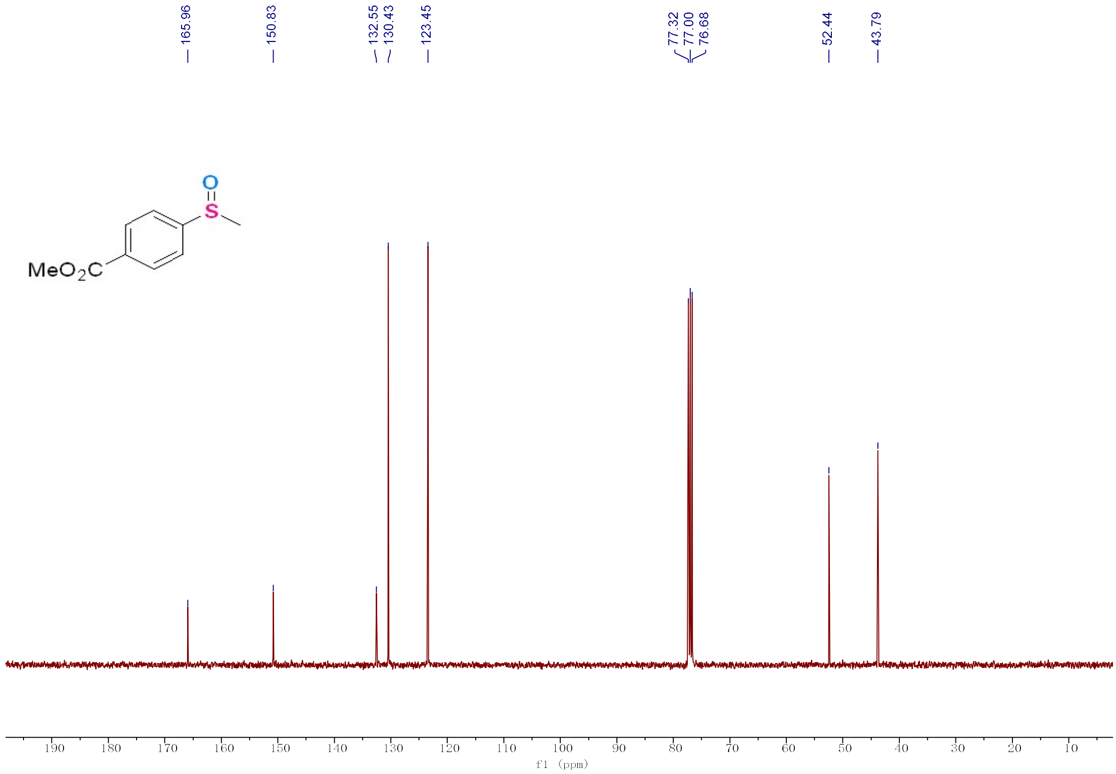
^{13}C NMR (100 MHz) Spectrum of 27 in $CDCl_3$



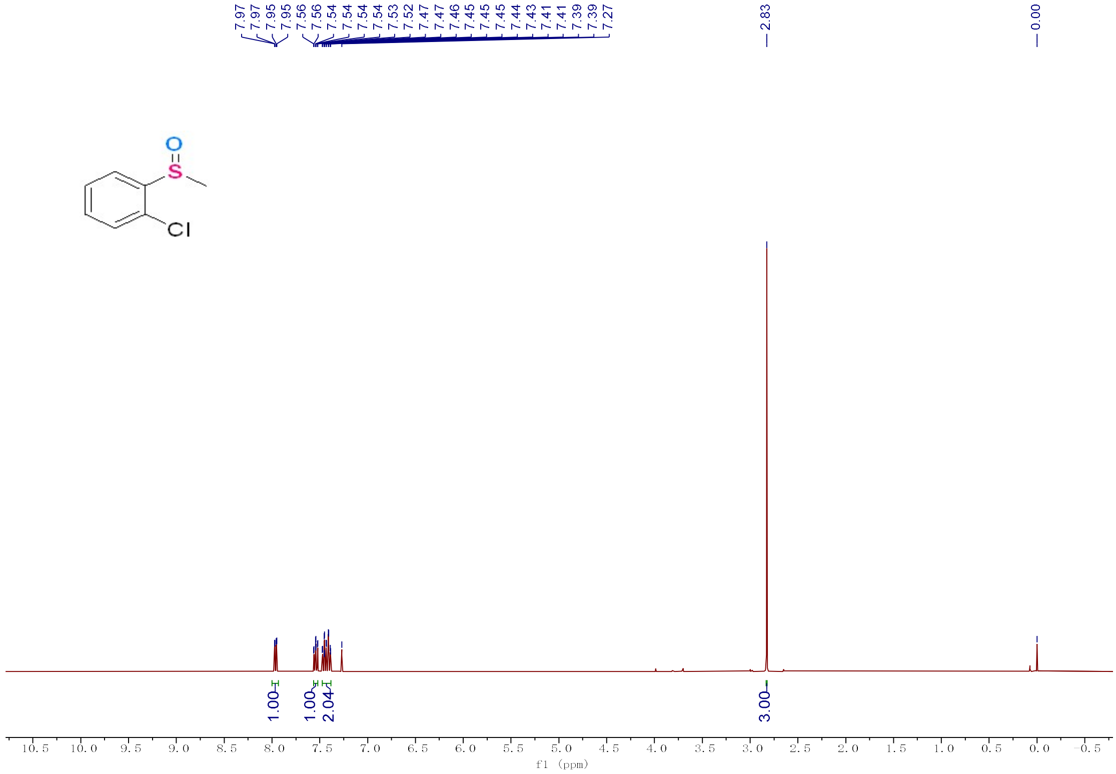
^1H NMR (400 MHz) Spectrum of 28 in $CDCl_3$



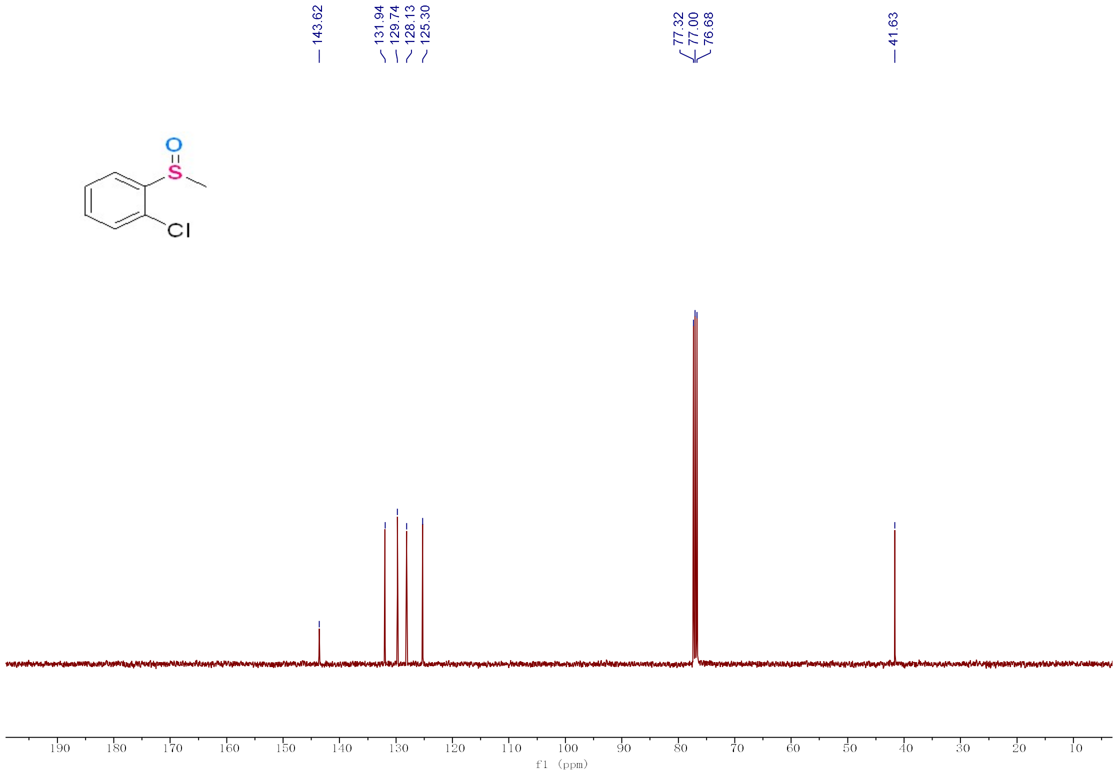
^{13}C NMR (100 MHz) Spectrum of 28 in CDCl$_3$



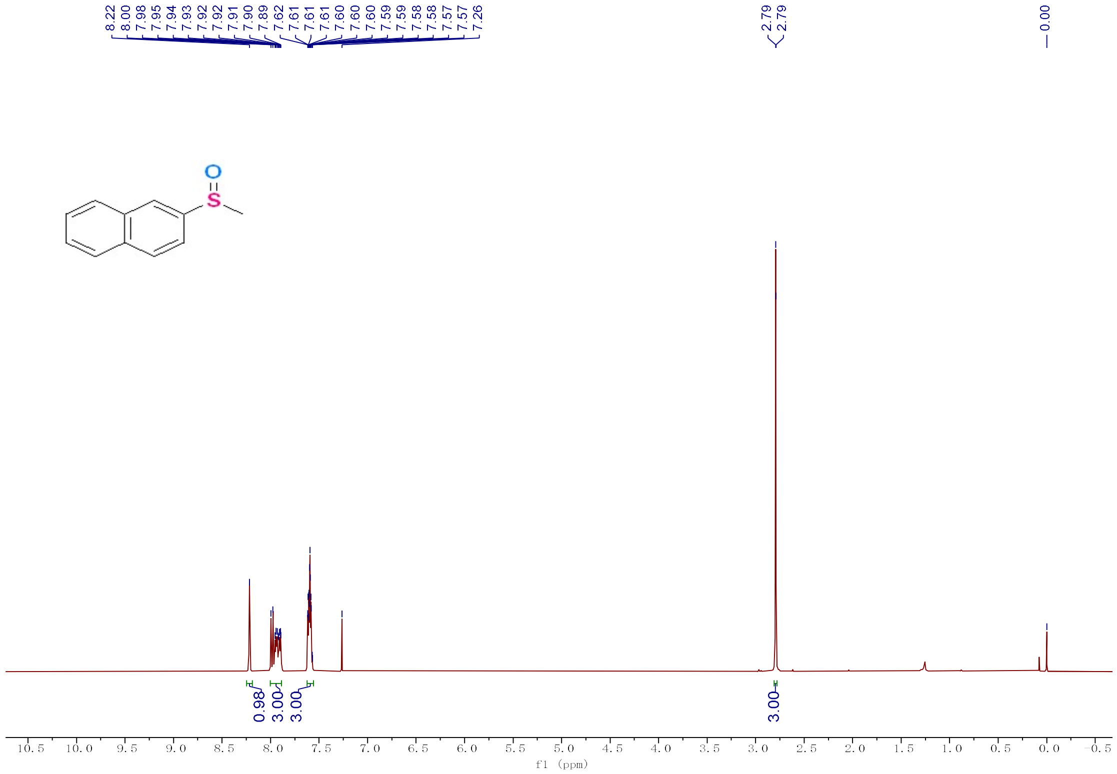
^{1}H NMR (400 MHz) Spectrum of 29 in CDCl$_3$



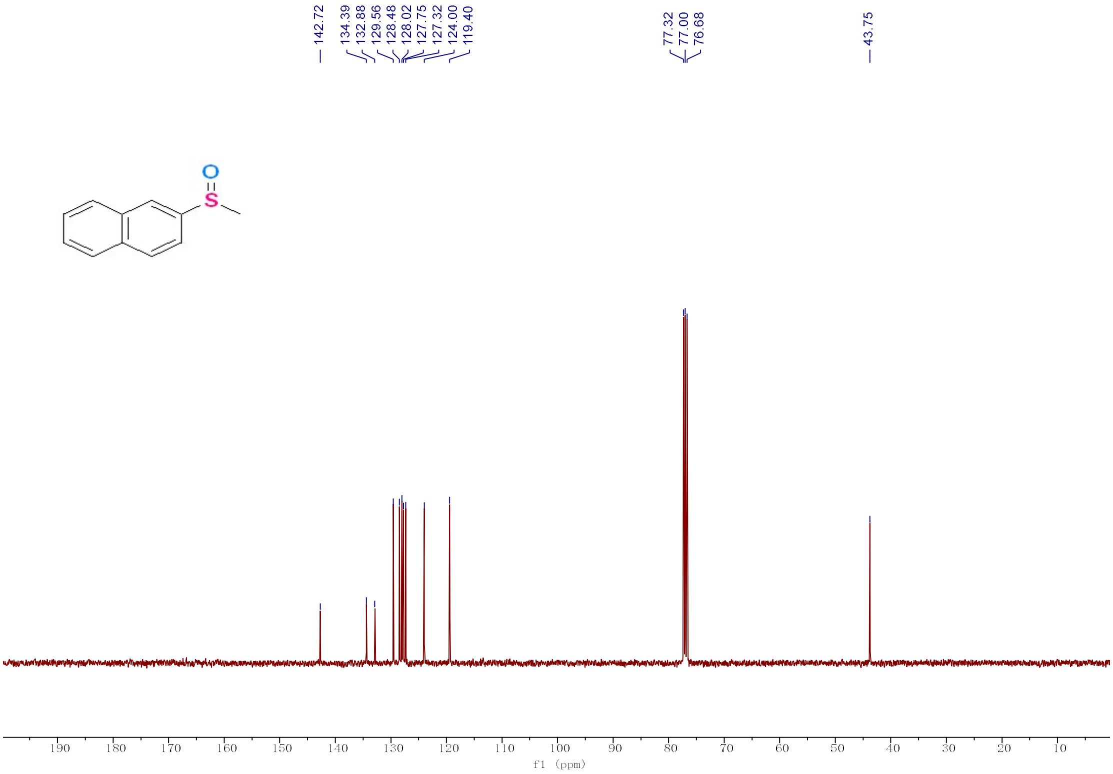
^{13}C NMR (100 MHz) Spectrum of 29 in CDCl$_3$



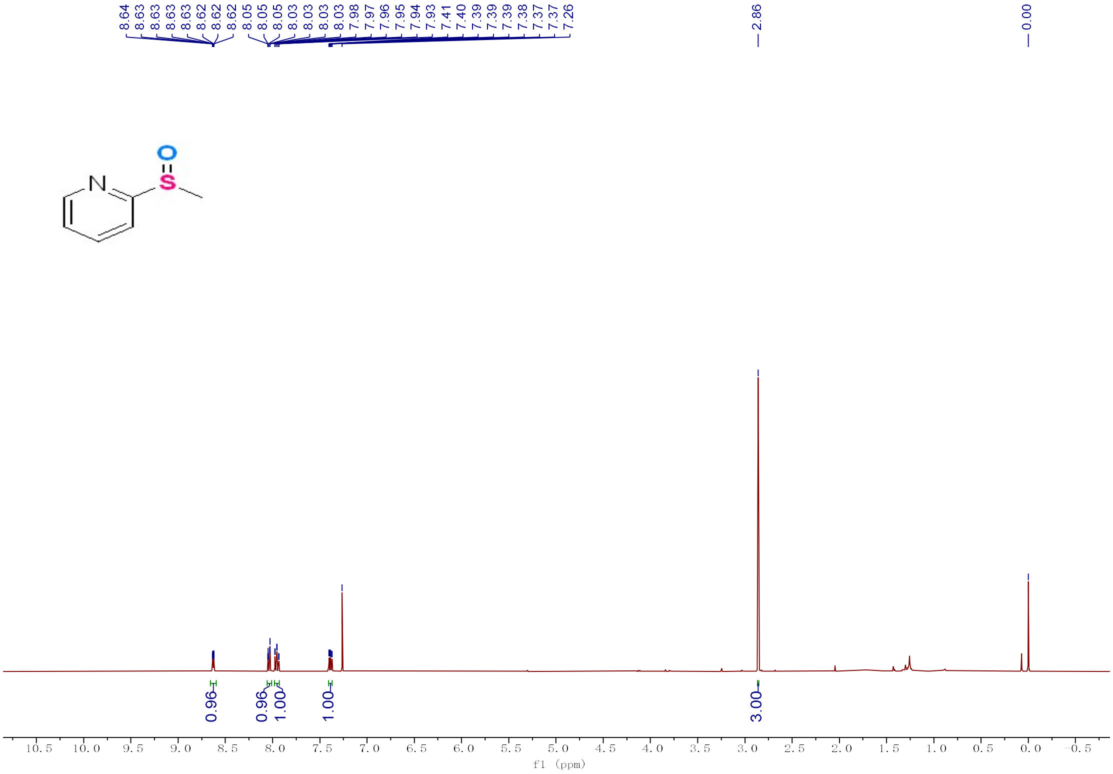
^{1}H NMR (400 MHz) Spectrum of 30 in CDCl$_3$



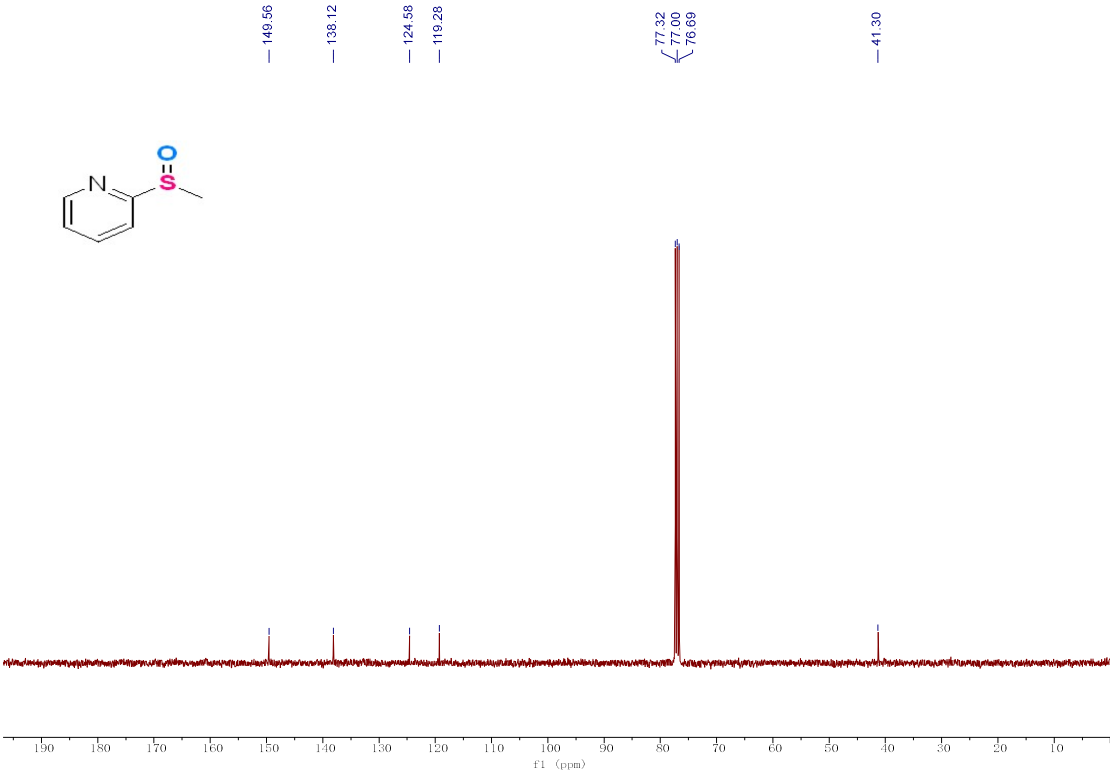
^{13}C NMR (100 MHz) Spectrum of 30 in $CDCl_3$



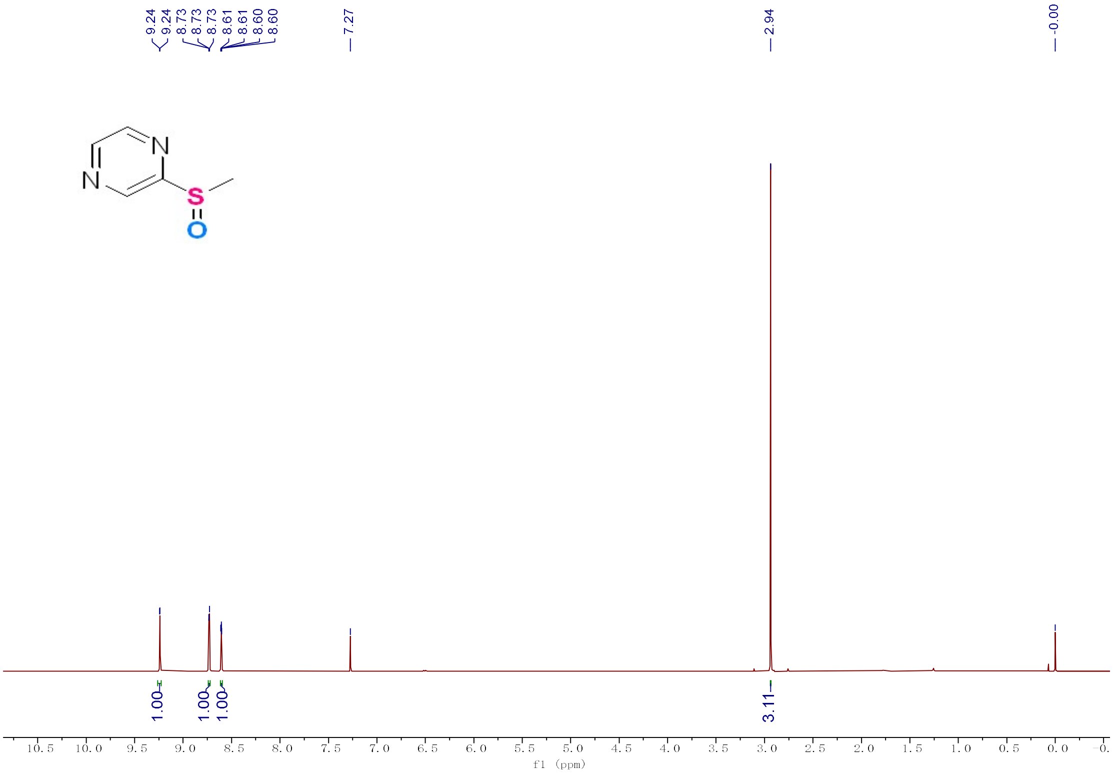
^{1}H NMR (400 MHz) Spectrum of 31 in $CDCl_3$



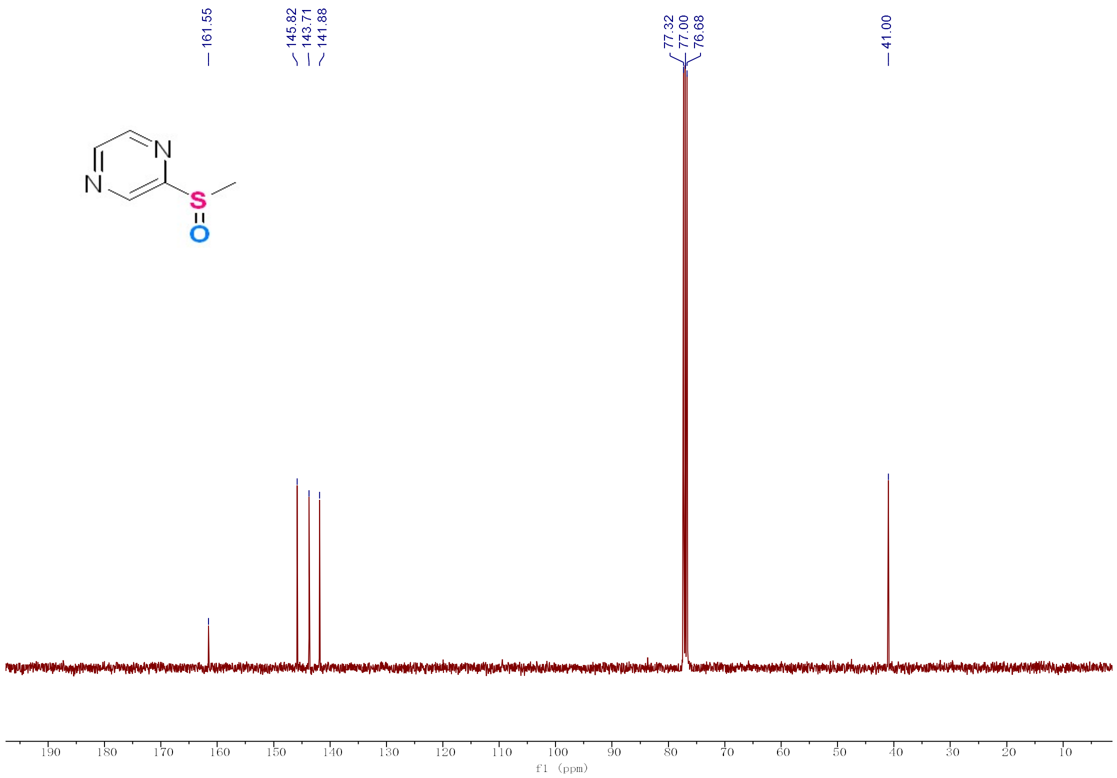
^{13}C NMR (100 MHz) Spectrum of 31 in $CDCl_3$



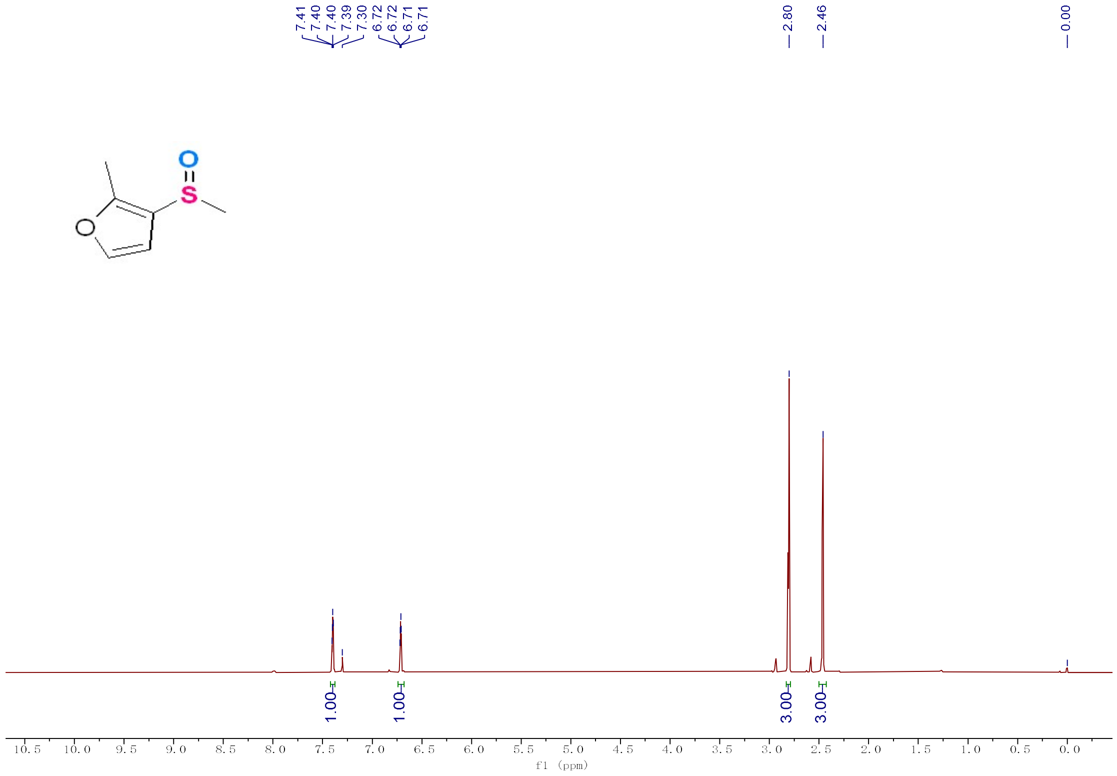
^1H NMR (400 MHz) Spectrum of 32 in $CDCl_3$



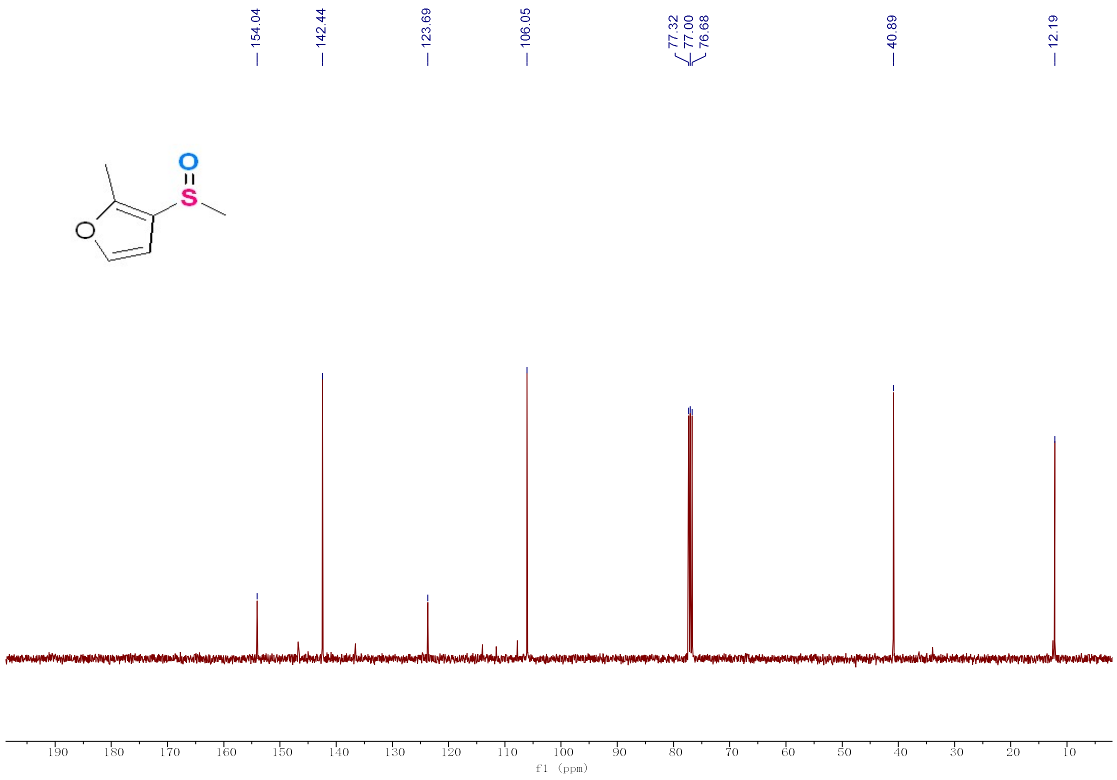
^{13}C NMR (100 MHz) Spectrum of 32 in $CDCl_3$



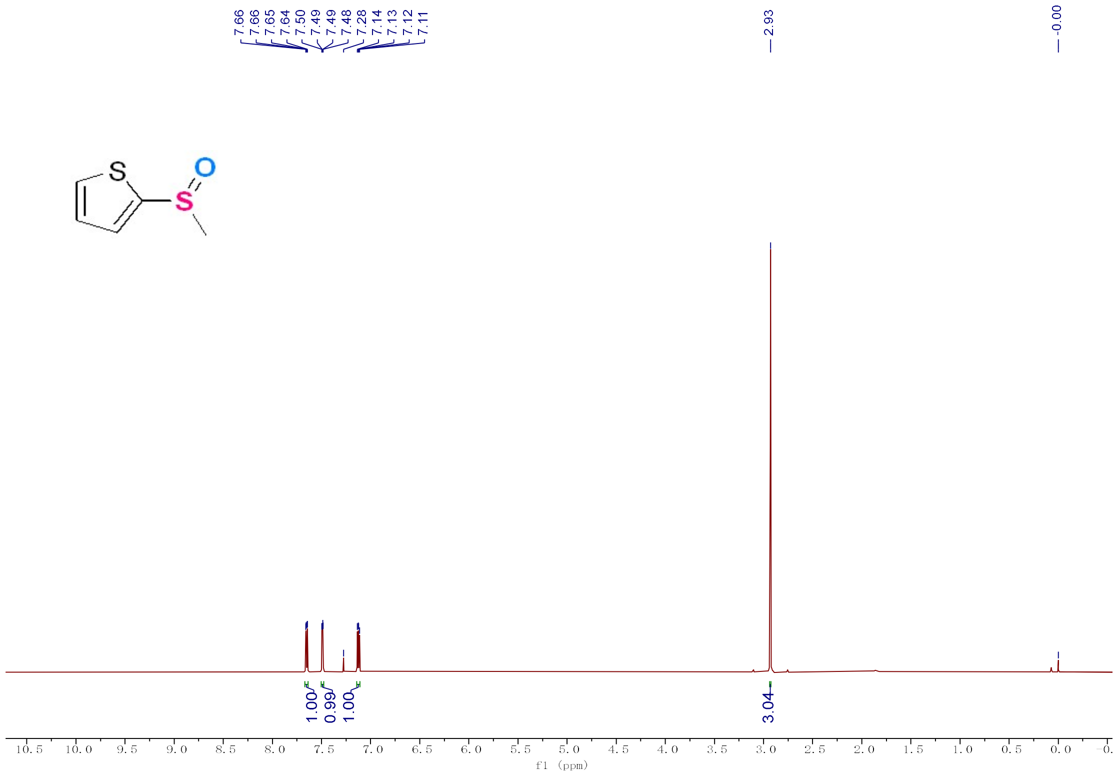
^{1}H NMR (400 MHz) Spectrum of 33 in $CDCl_3$



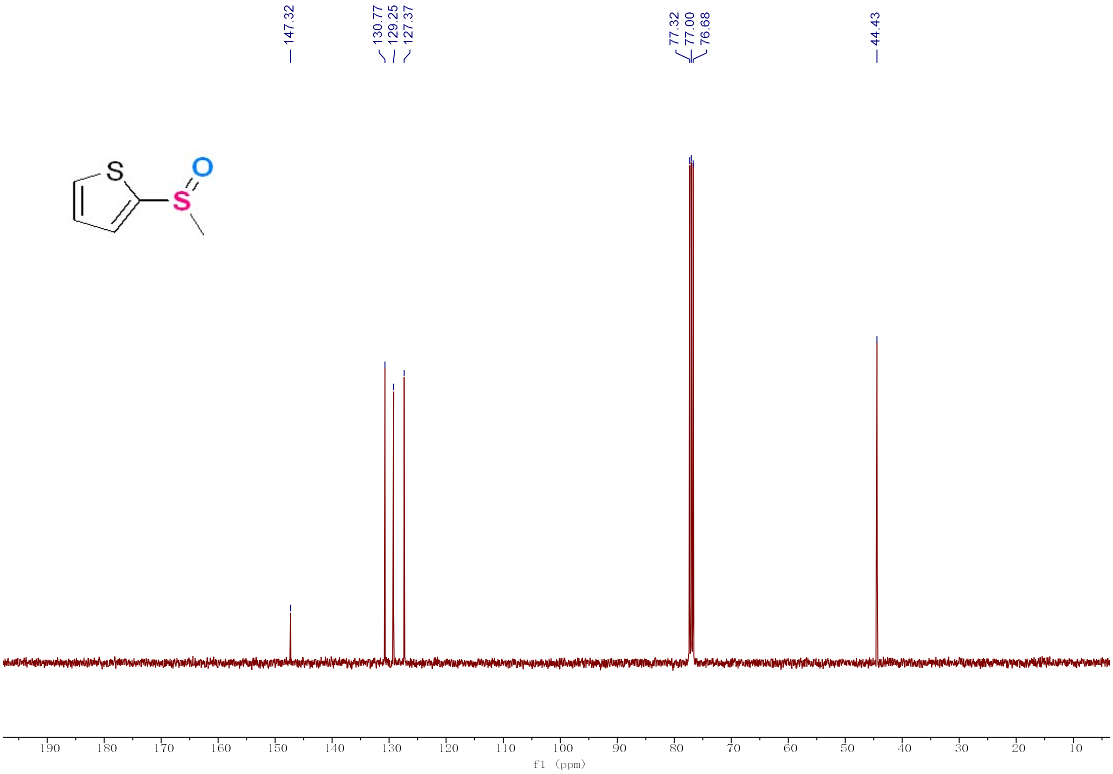
^{13}C NMR (100 MHz) Spectrum of 33 in CDCl$_3$



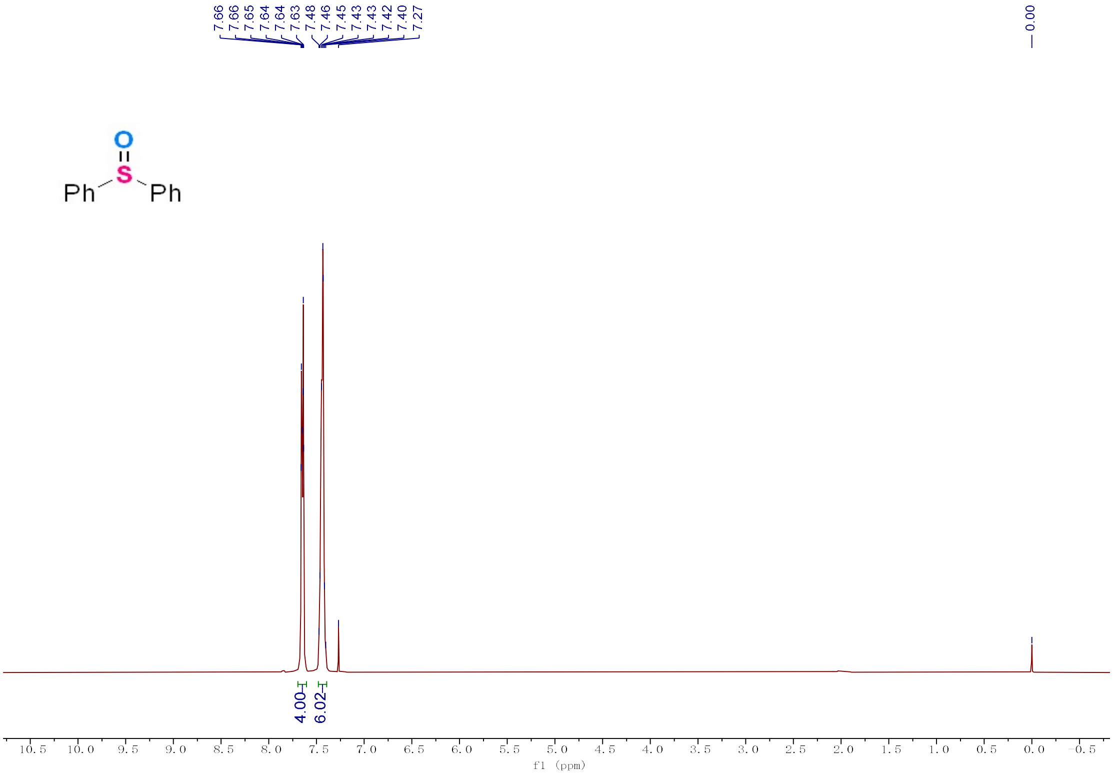
^{1}H NMR (400 MHz) Spectrum of 34 in CDCl$_3$



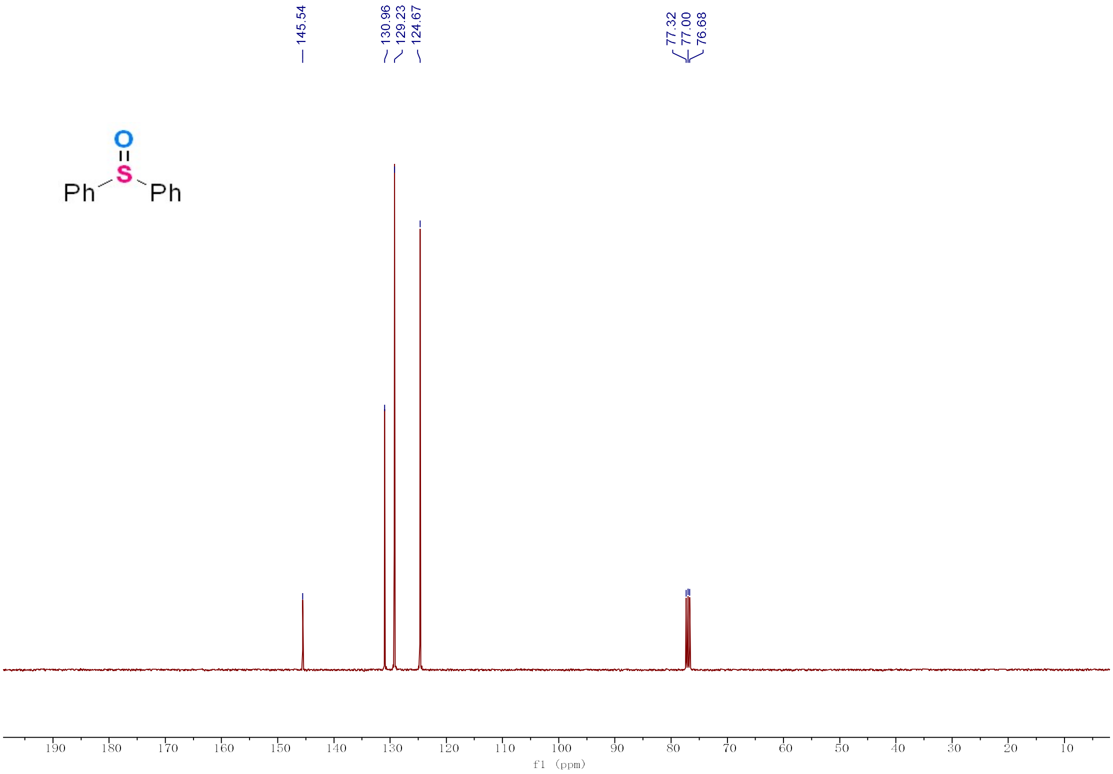
^{13}C NMR (100 MHz) Spectrum of 34 in $CDCl_3$



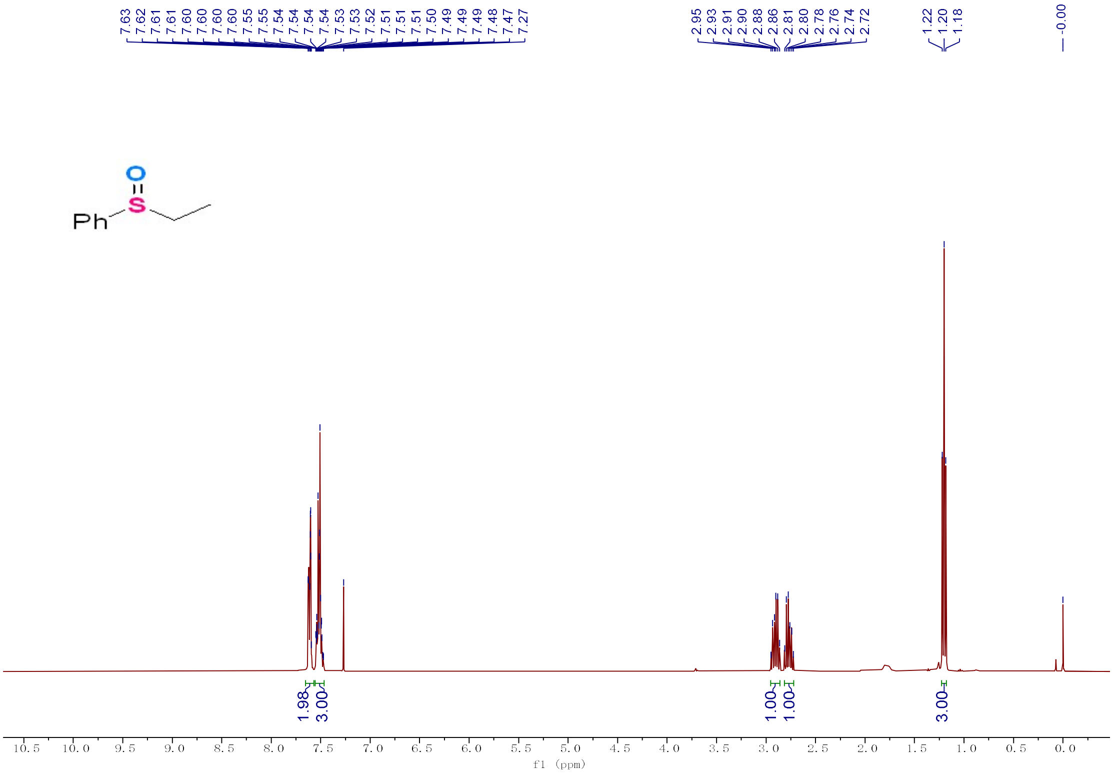
^1H NMR (400 MHz) Spectrum of 35 in $CDCl_3$



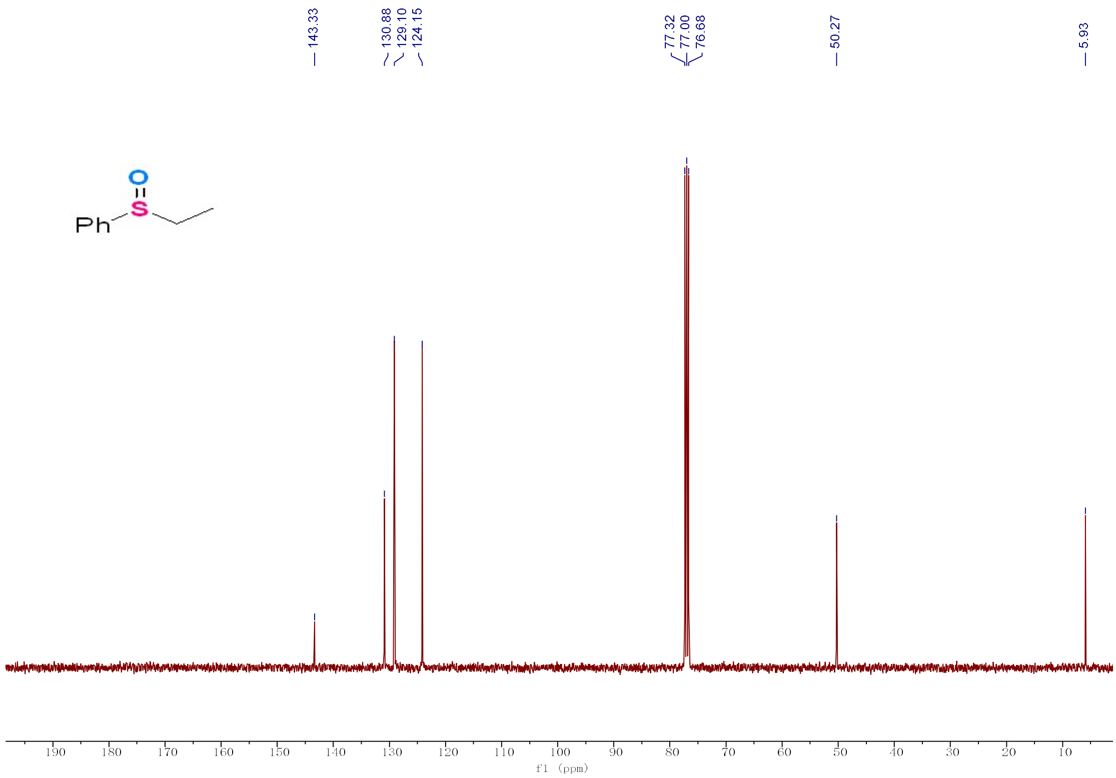
^{13}C NMR (100 MHz) Spectrum of 35 in CDCl$_3$



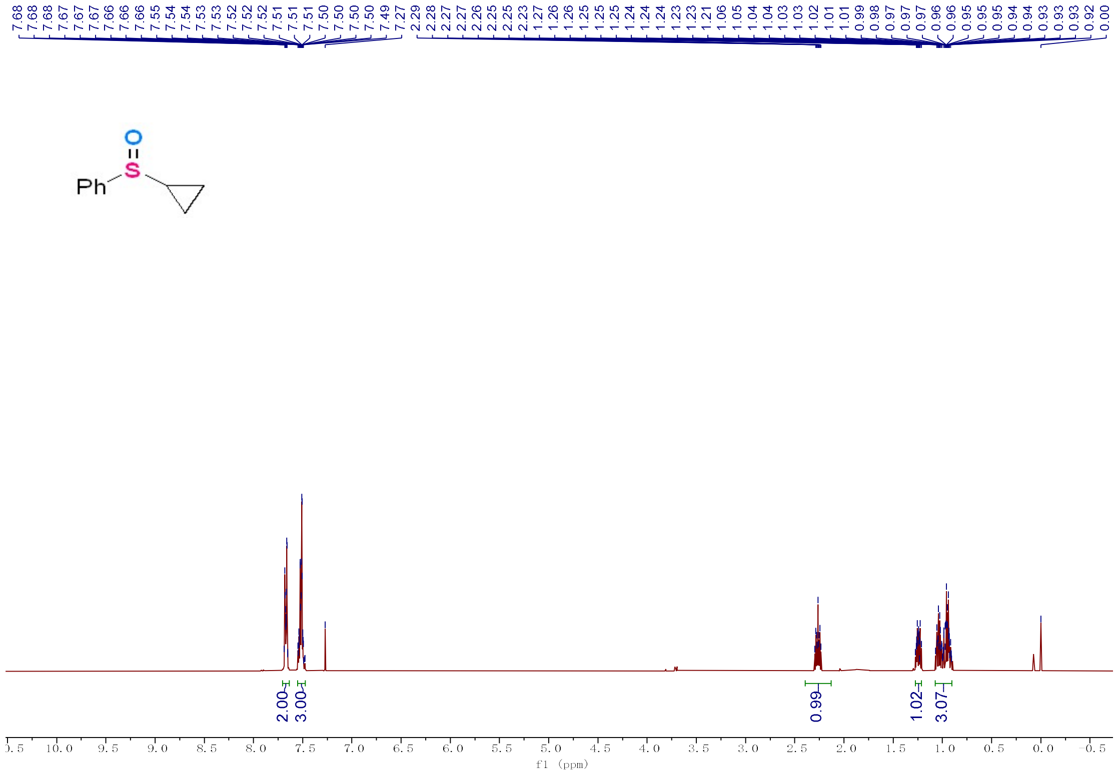
^{1}H NMR (400 MHz) Spectrum of 36 in CDCl$_3$



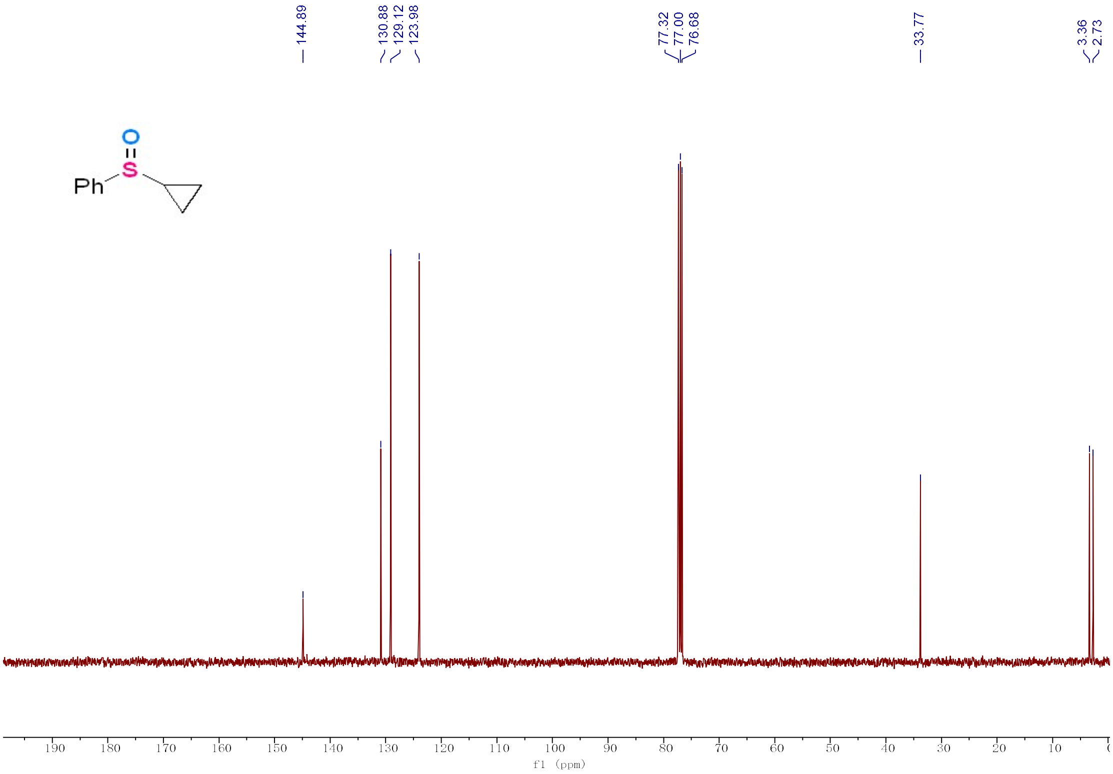
^{13}C NMR (100 MHz) Spectrum of 36 in CDCl$_3$



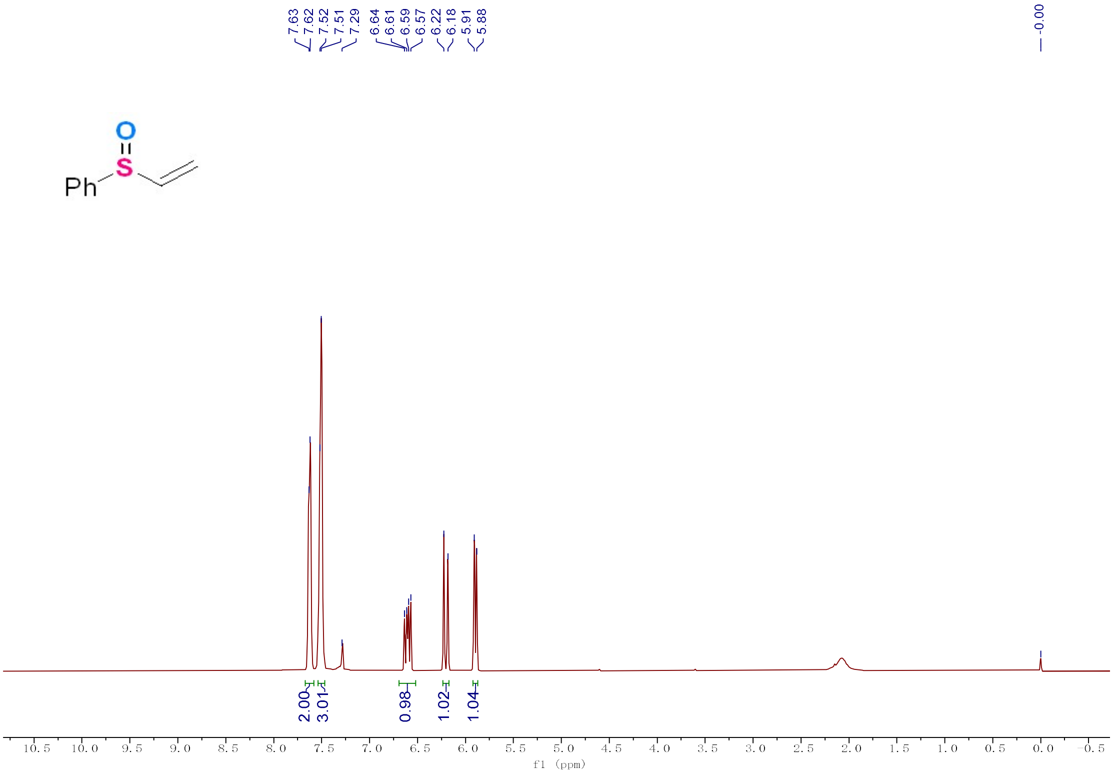
^{1}H NMR (400 MHz) Spectrum of 37 in CDCl$_3$



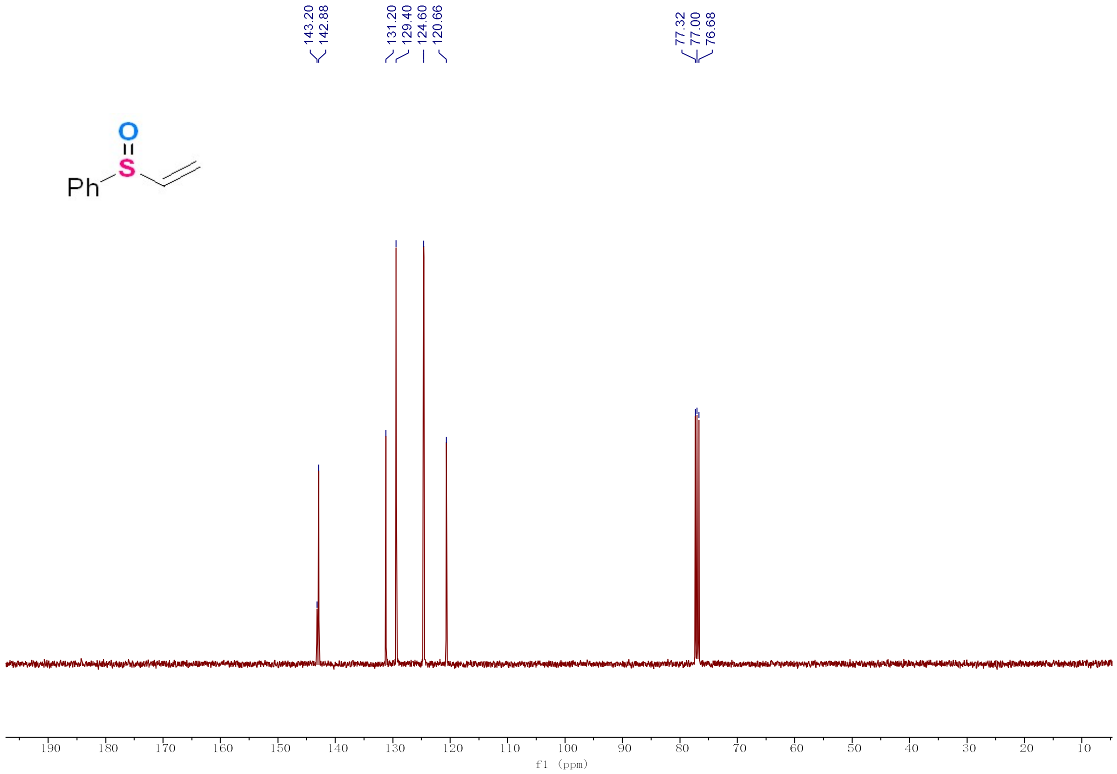
^{13}C NMR (100 MHz) Spectrum of 37 in CDCl$_3$



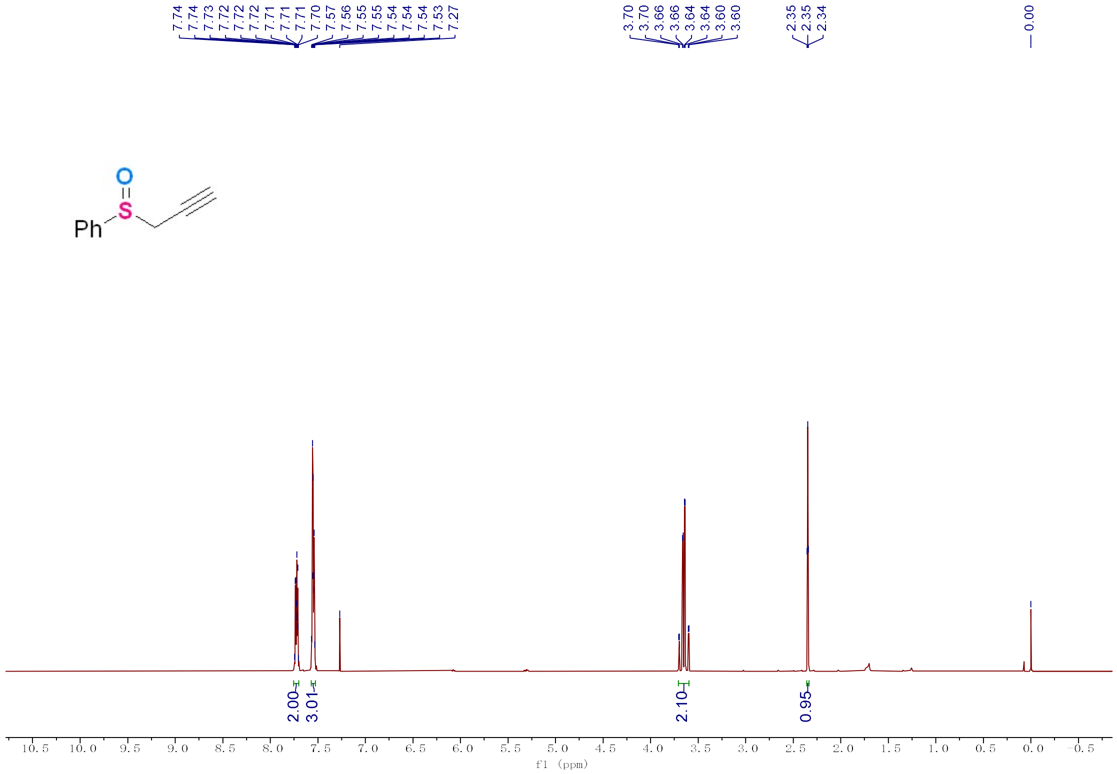
^{1}H NMR (400 MHz) Spectrum of 38 in CDCl$_3$



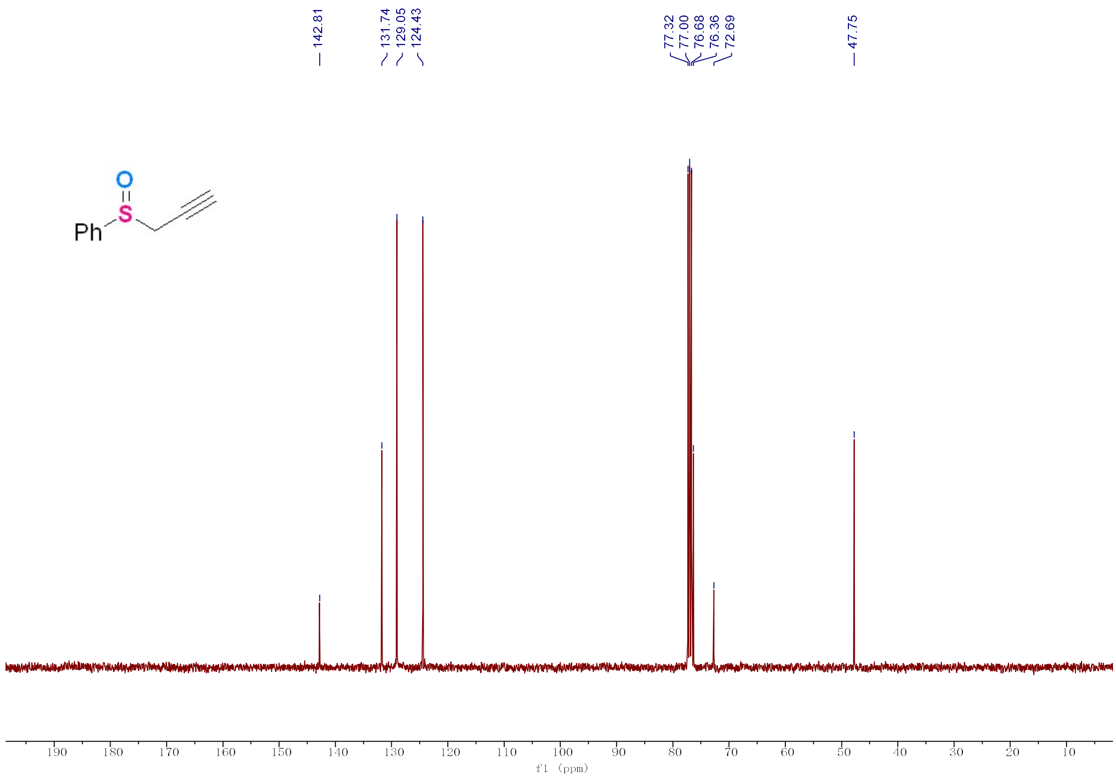
^{13}C NMR (100 MHz) Spectrum of 38 in CDCl$_3$



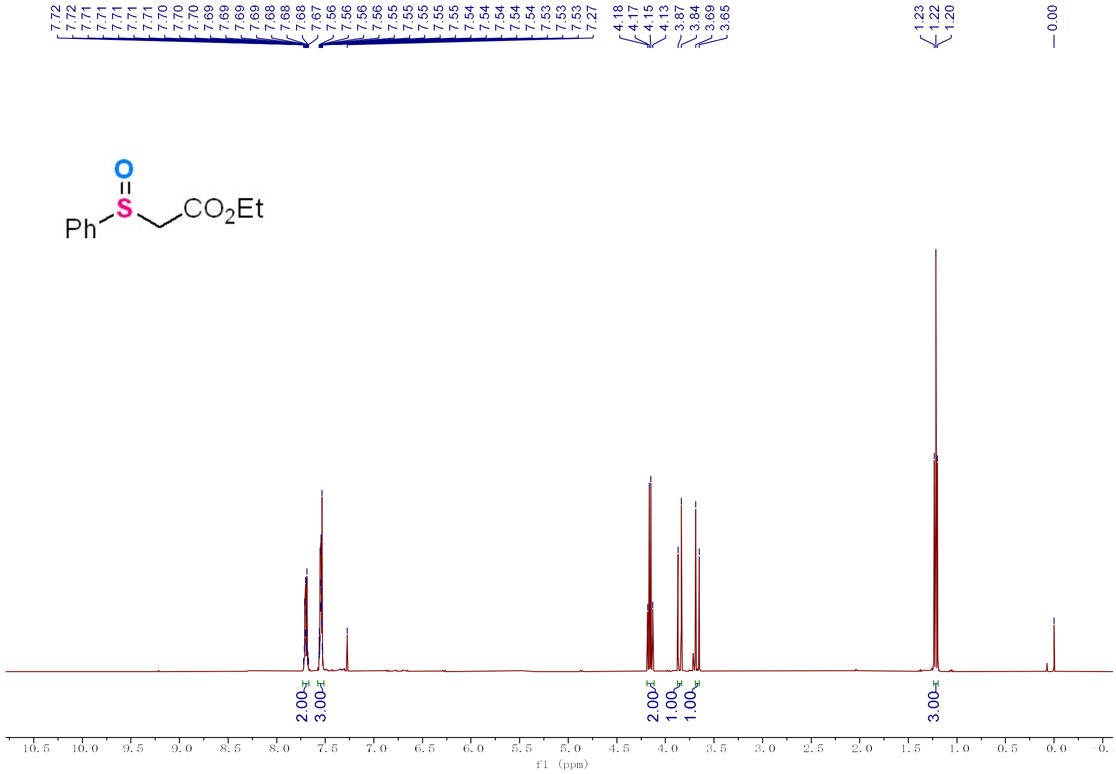
^{1}H NMR (400 MHz) Spectrum of 39 in CDCl$_3$



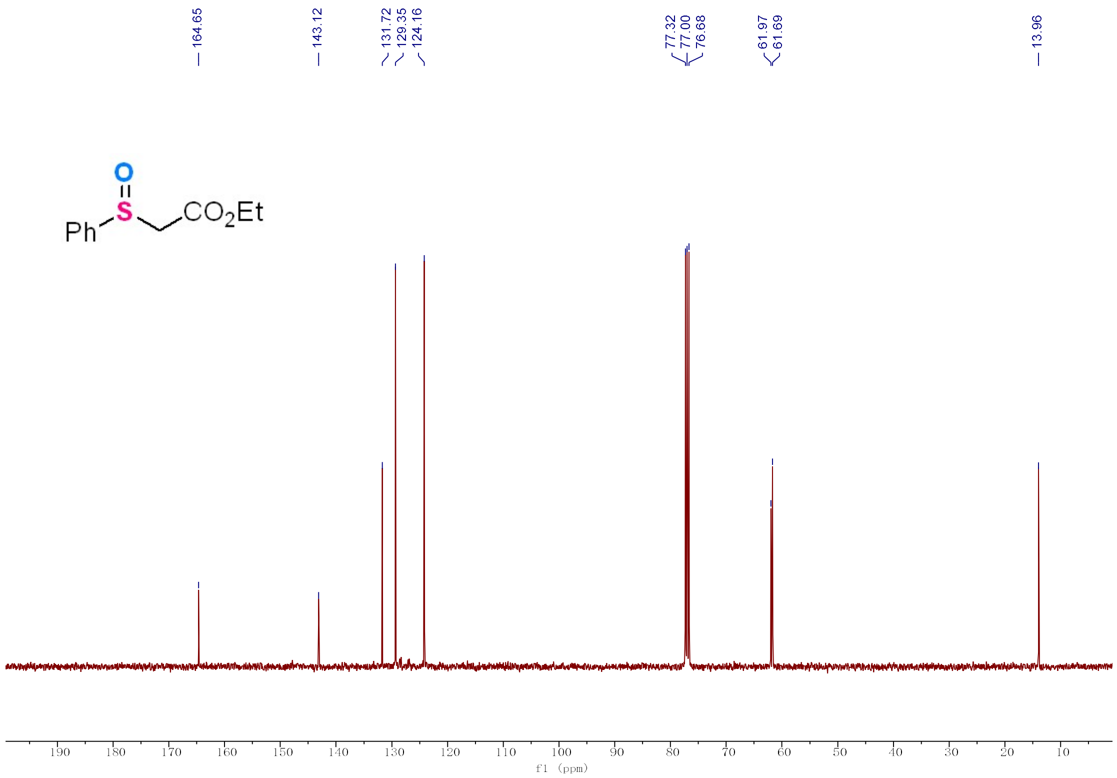
^{13}C NMR (100 MHz) Spectrum of 39 in CDCl$_3$



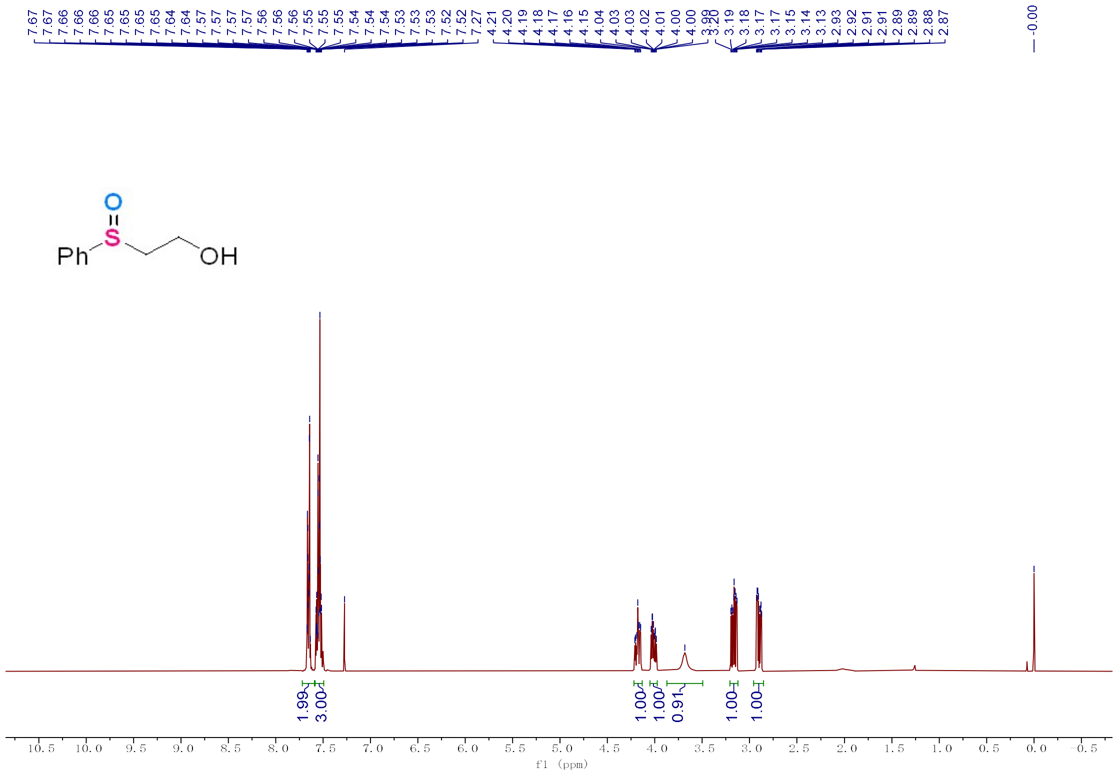
^{1}H NMR (400 MHz) Spectrum of 40 in CDCl$_3$



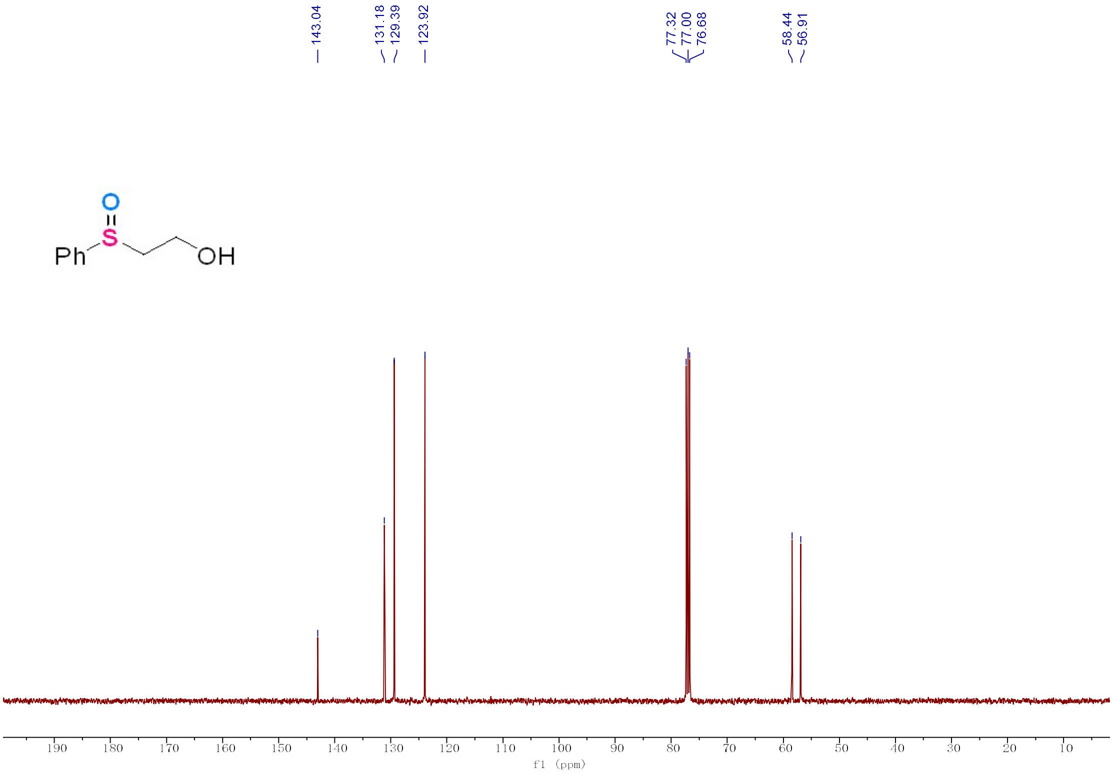
^{13}C NMR (100 MHz) Spectrum of 40 in CDCl$_3$



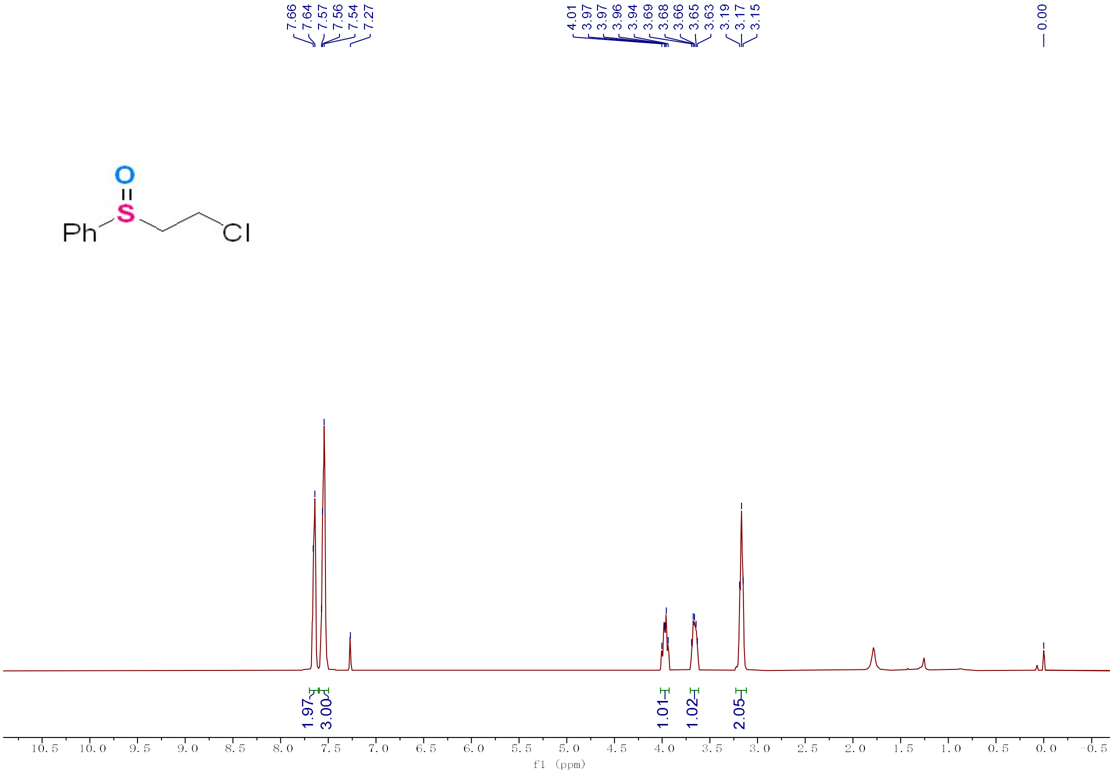
^{1}H NMR (400 MHz) Spectrum of 41 in CDCl$_3$



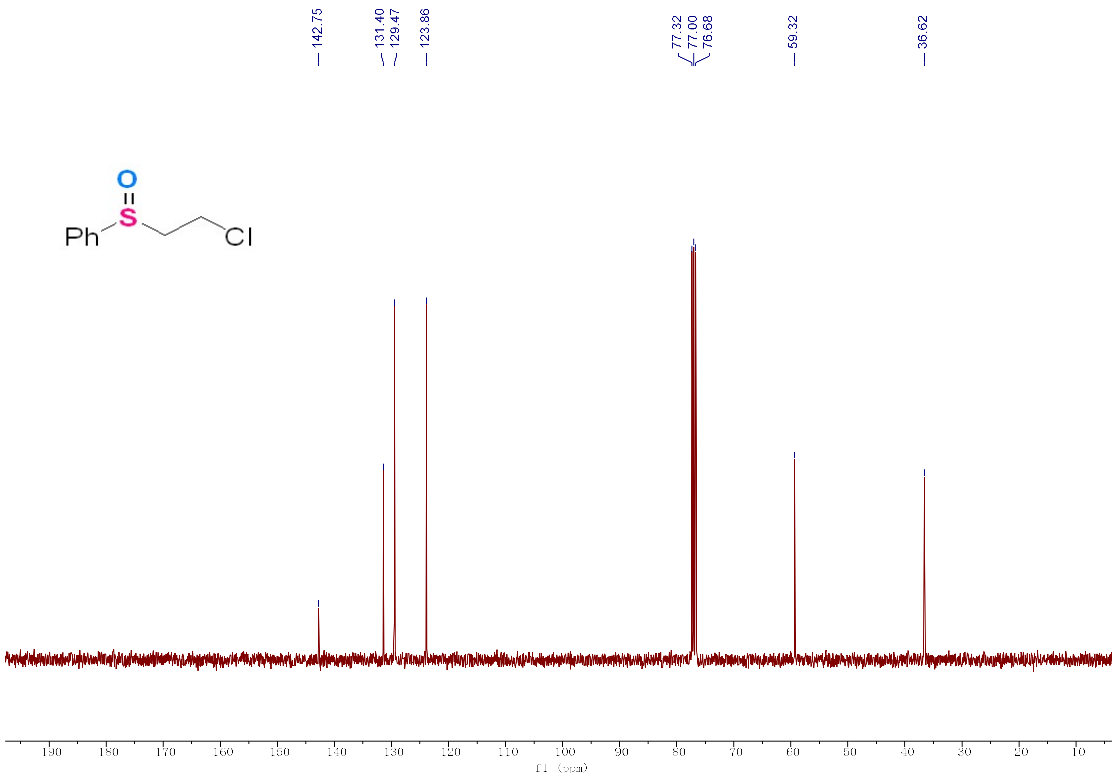
^{13}C NMR (100 MHz) Spectrum of 41 in CDCl$_3$



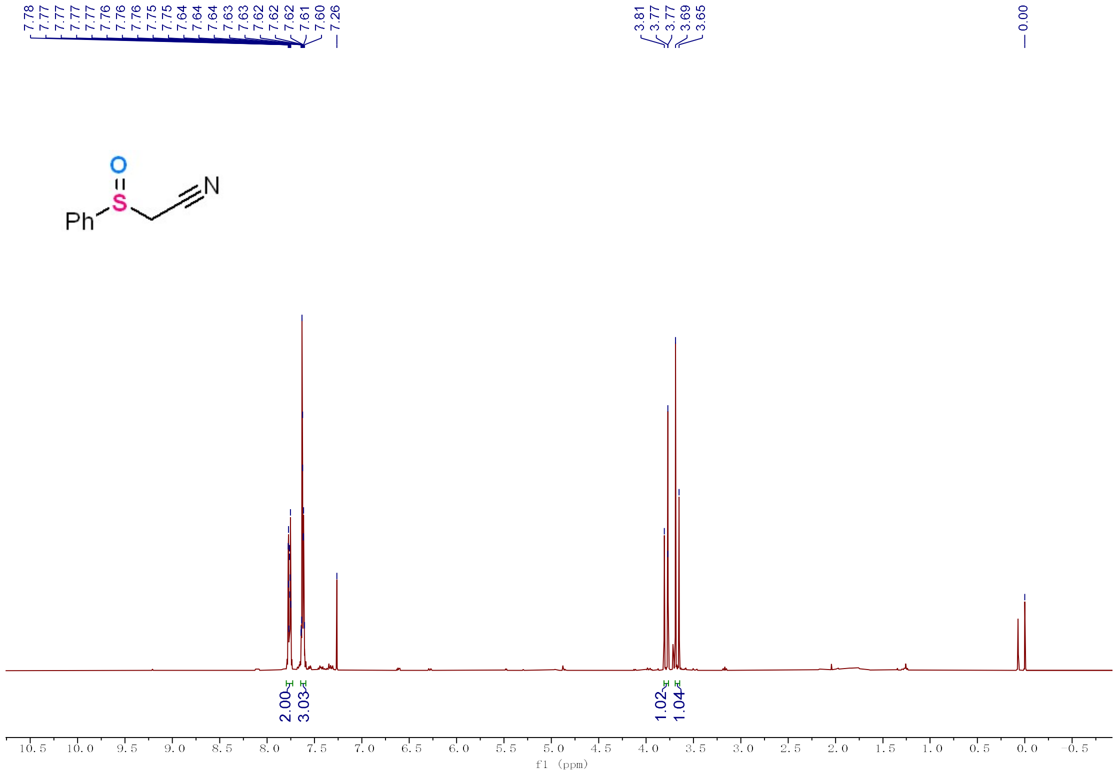
^{1}H NMR (400 MHz) Spectrum of 42 in CDCl$_3$



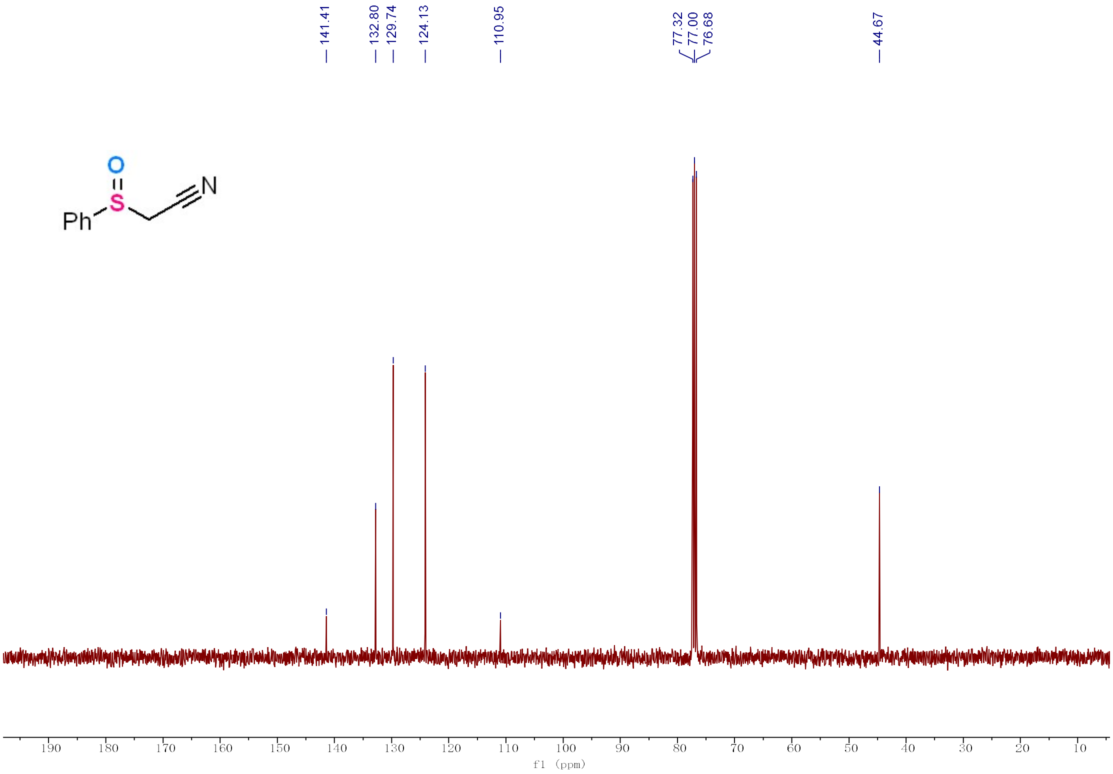
^{13}C NMR (100 MHz) Spectrum of 42 in $CDCl_3$



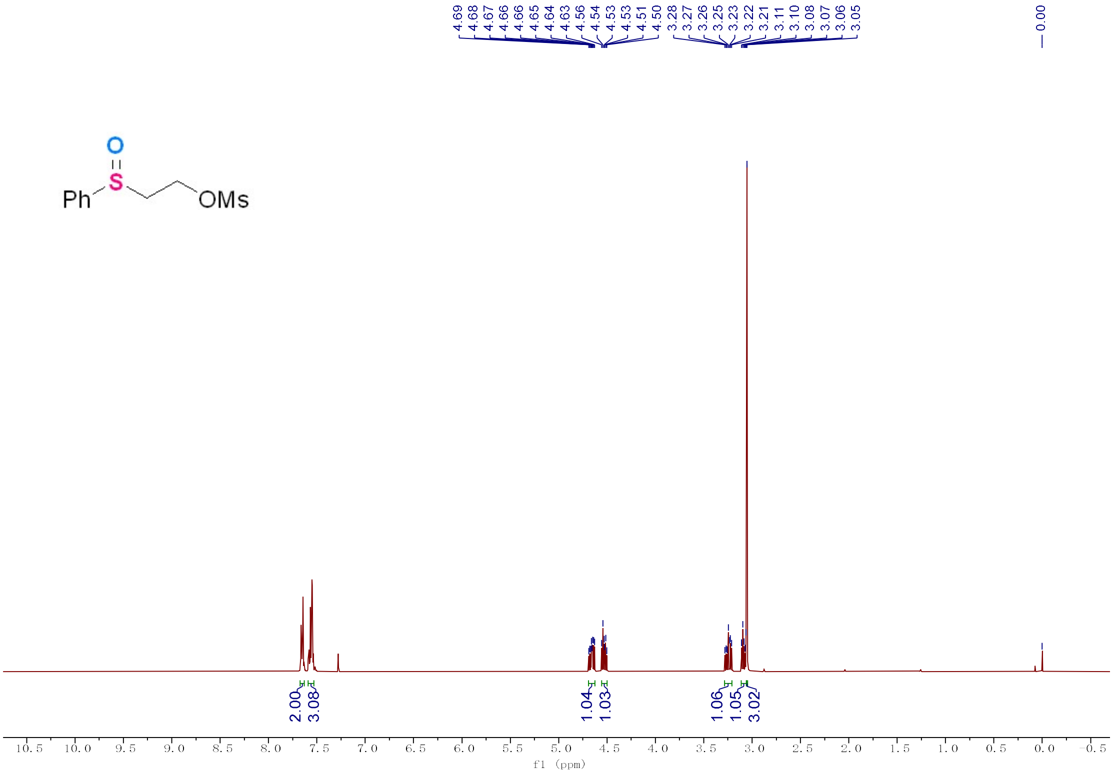
^{1}H NMR (400 MHz) Spectrum of 43 in $CDCl_3$



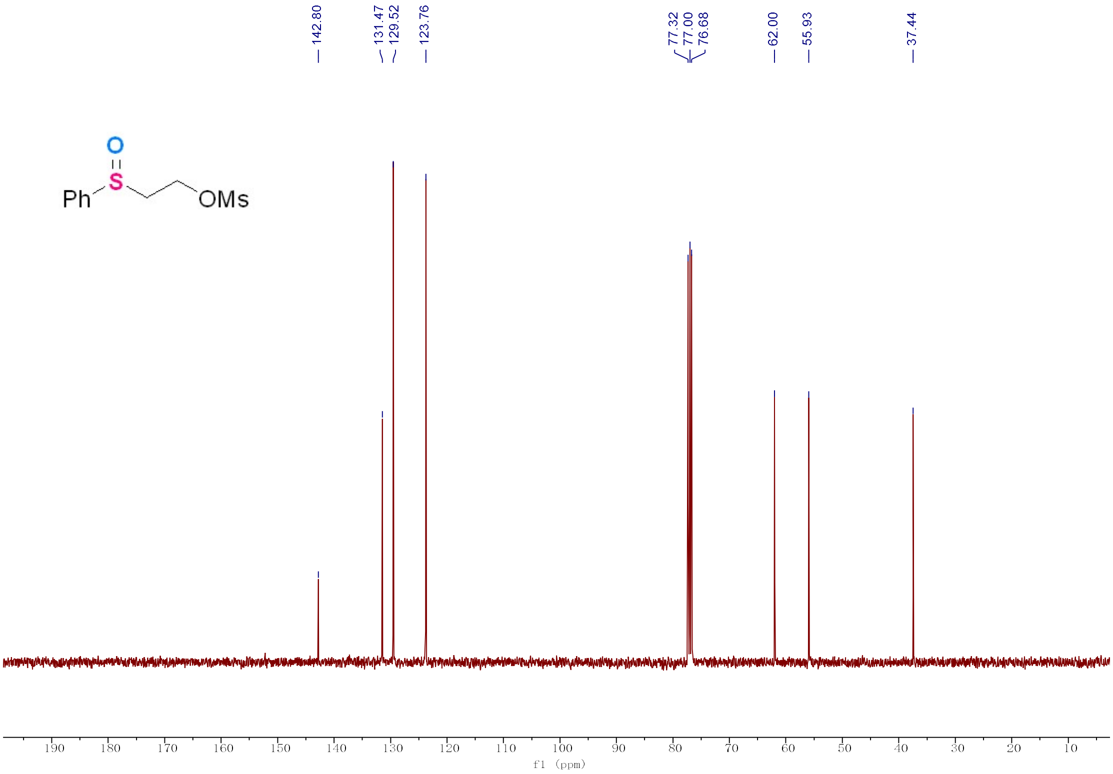
^{13}C NMR (100 MHz) Spectrum of 43 in $CDCl_3$



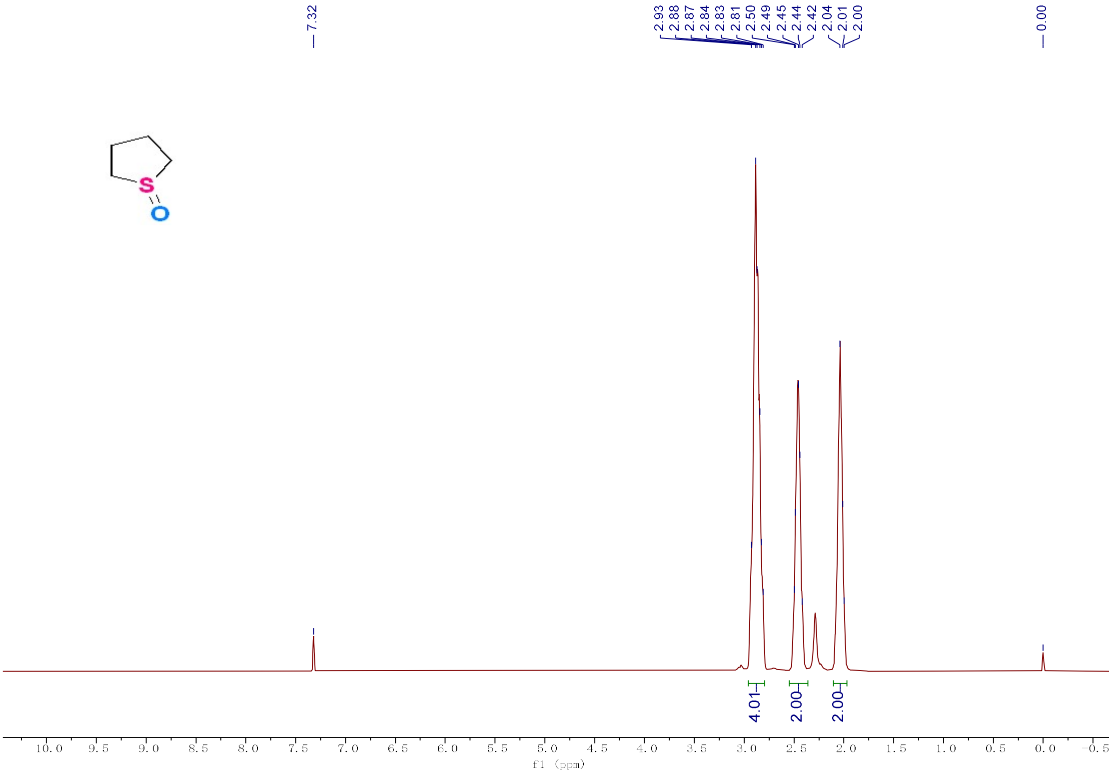
^{1}H NMR (400 MHz) Spectrum of 44 in $CDCl_3$



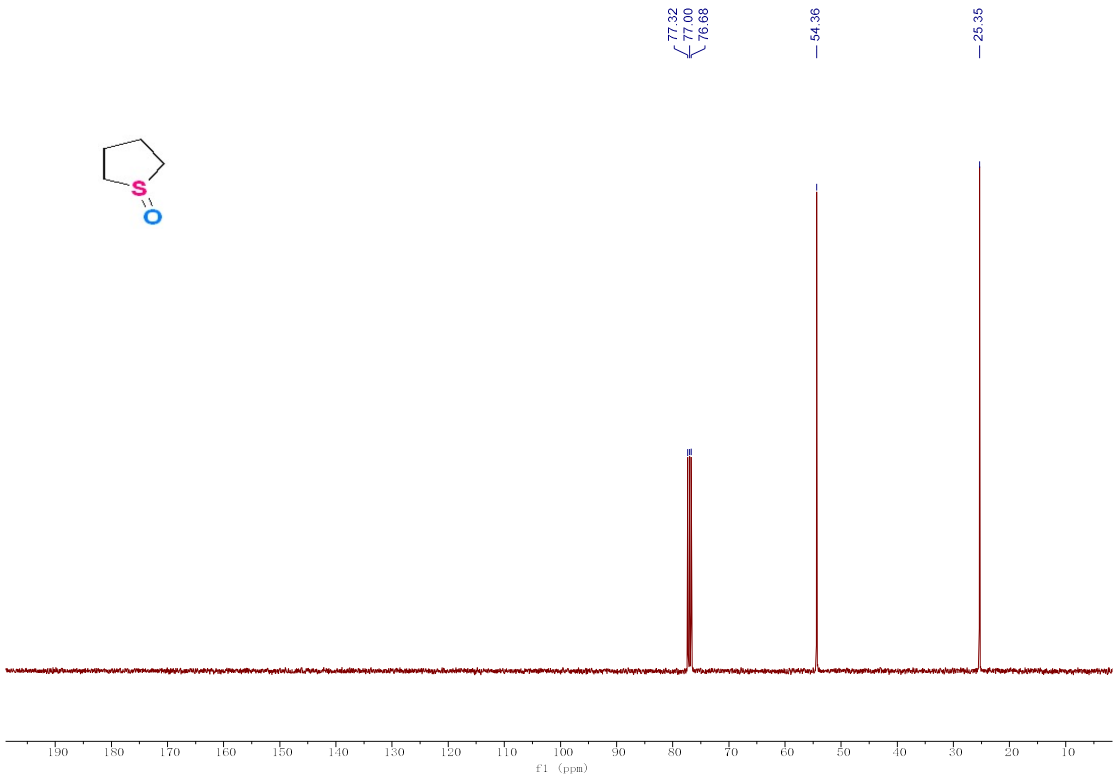
^{13}C NMR (100 MHz) Spectrum of 44 in CDCl$_3$



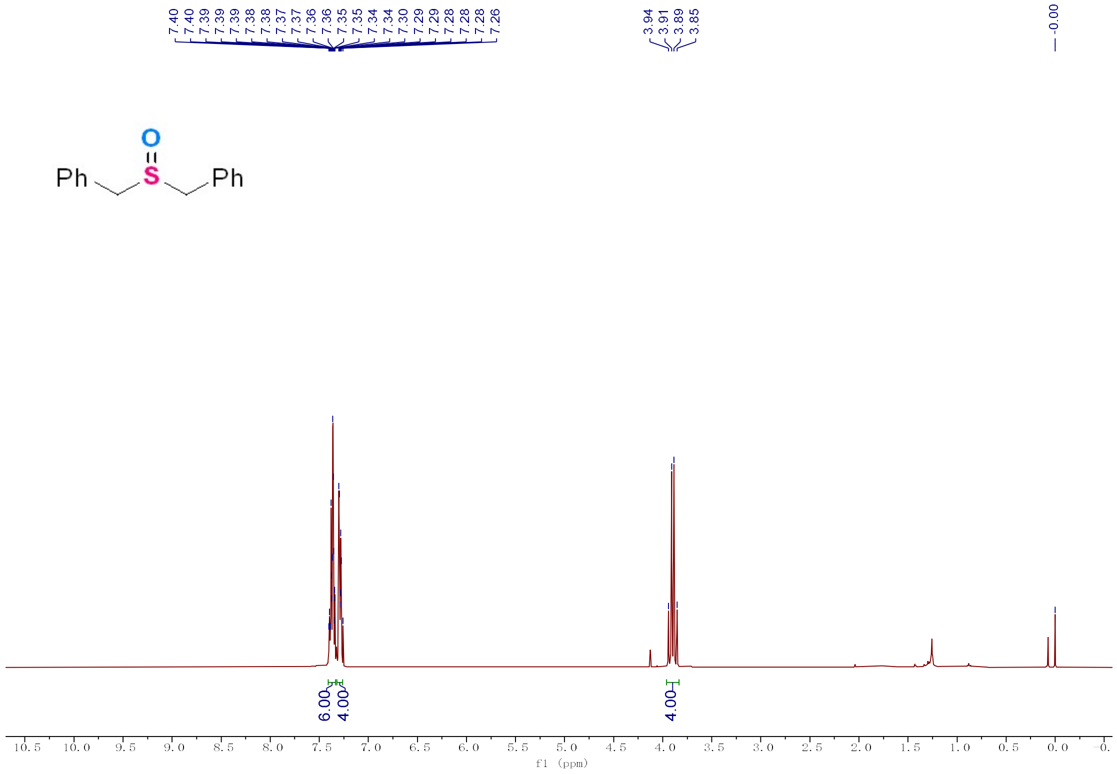
^{1}H NMR (400 MHz) Spectrum of 45 in CDCl$_3$



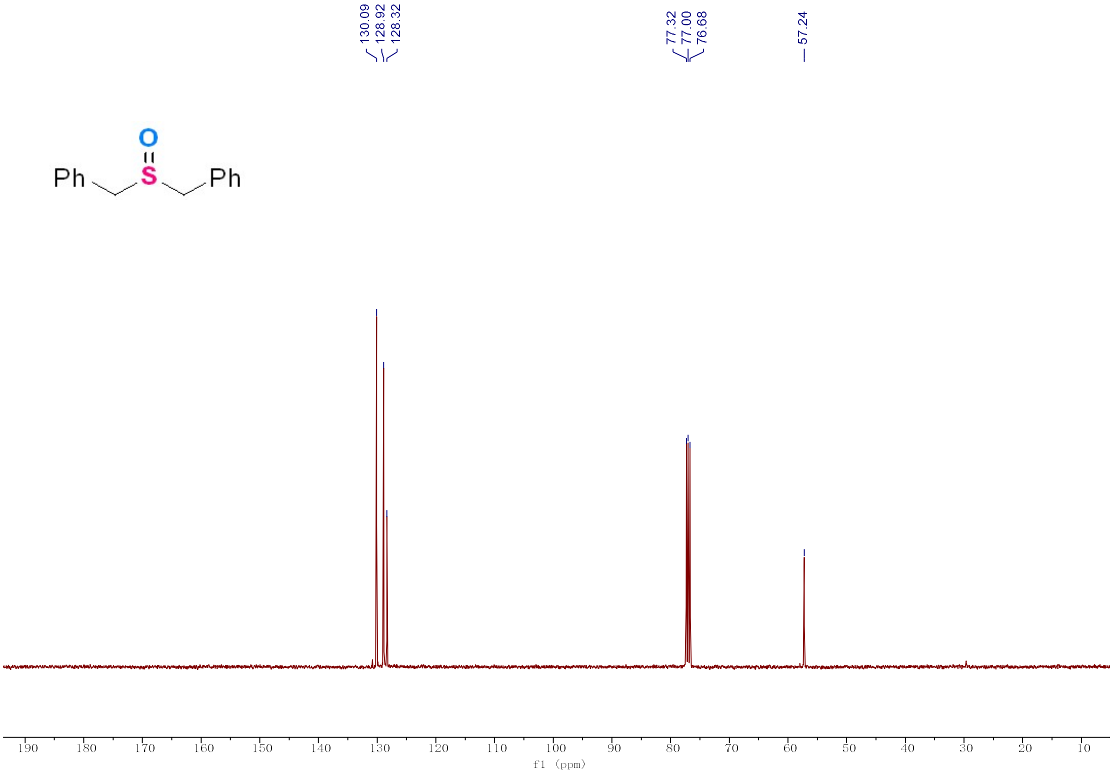
^{13}C NMR (100 MHz) Spectrum of 45 in CDCl$_3$



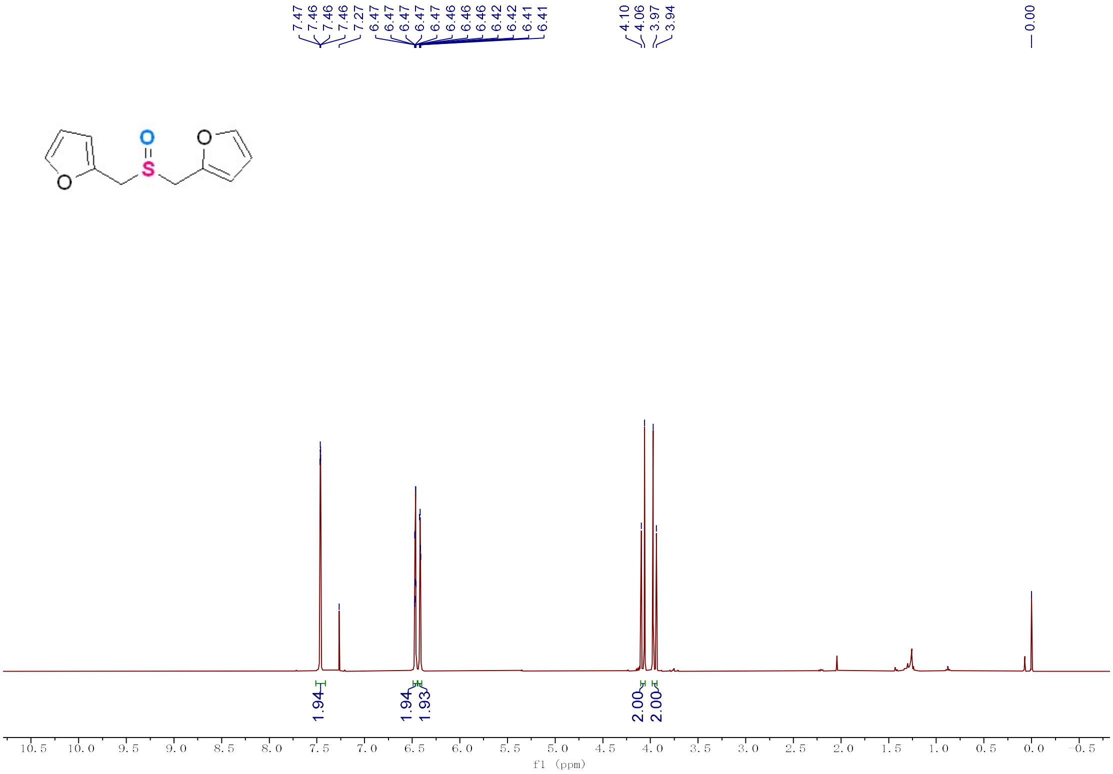
^{1}H NMR (400 MHz) Spectrum of 46 in CDCl$_3$



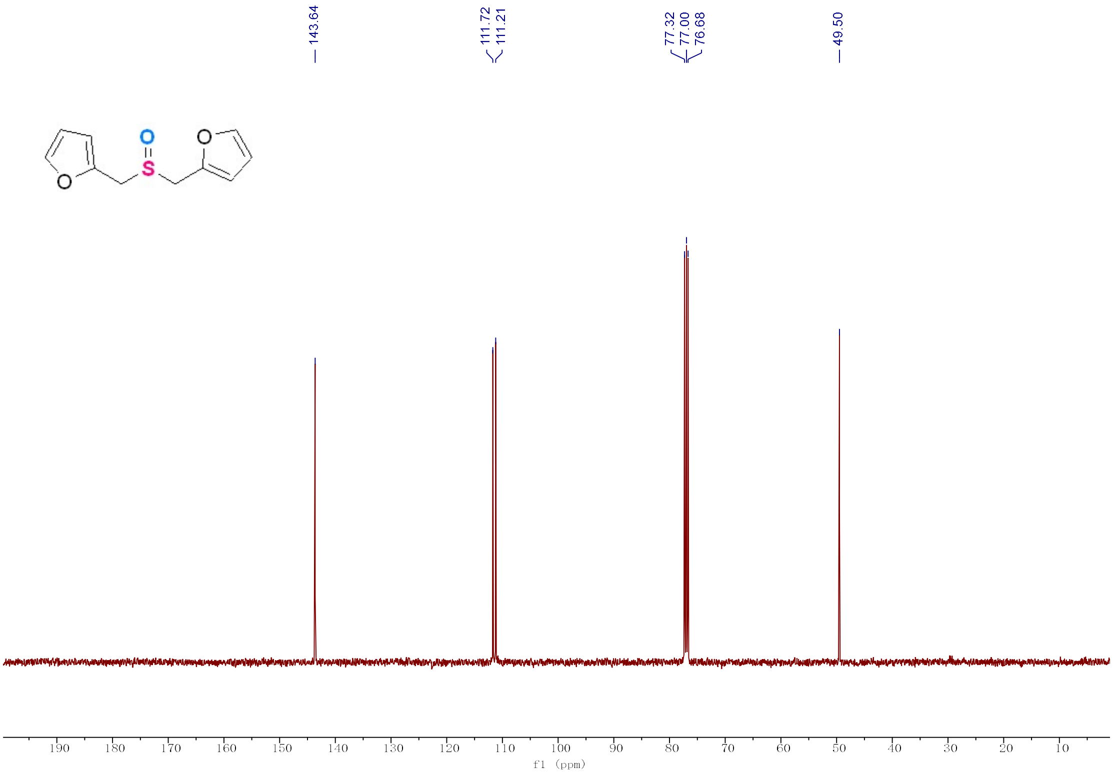
^{13}C NMR (100 MHz) Spectrum of 46 in CDCl$_3$



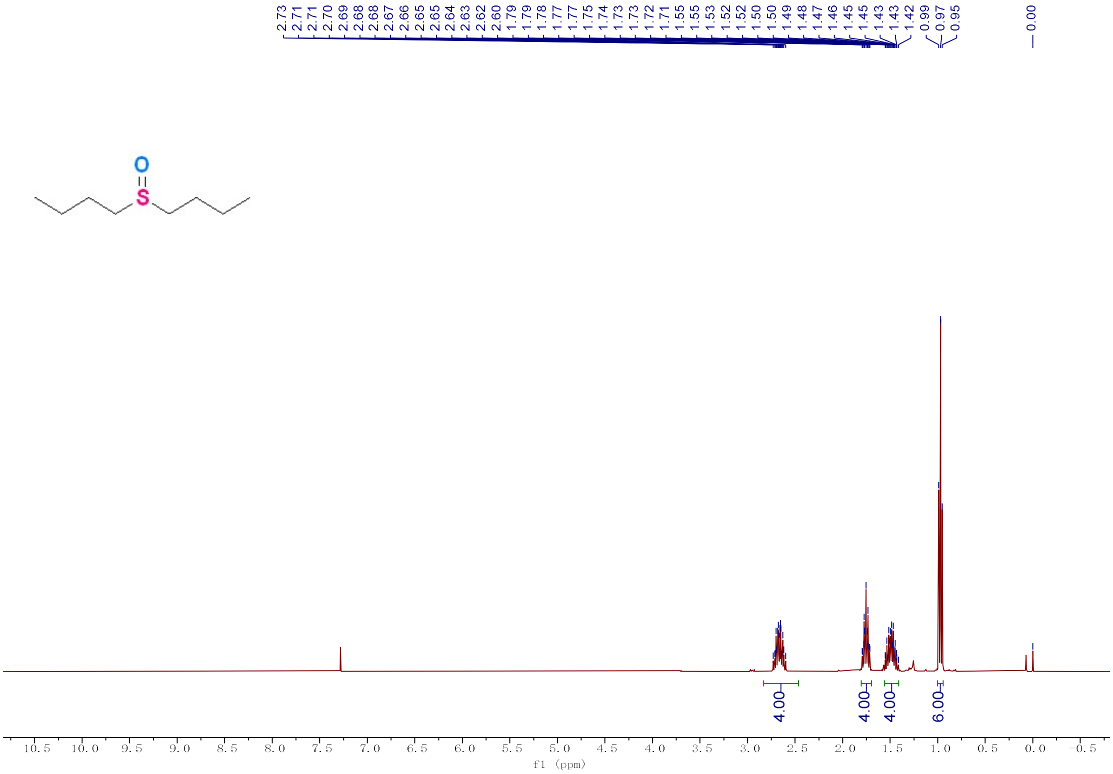
^{1}H NMR (400 MHz) Spectrum of 47 in CDCl$_3$



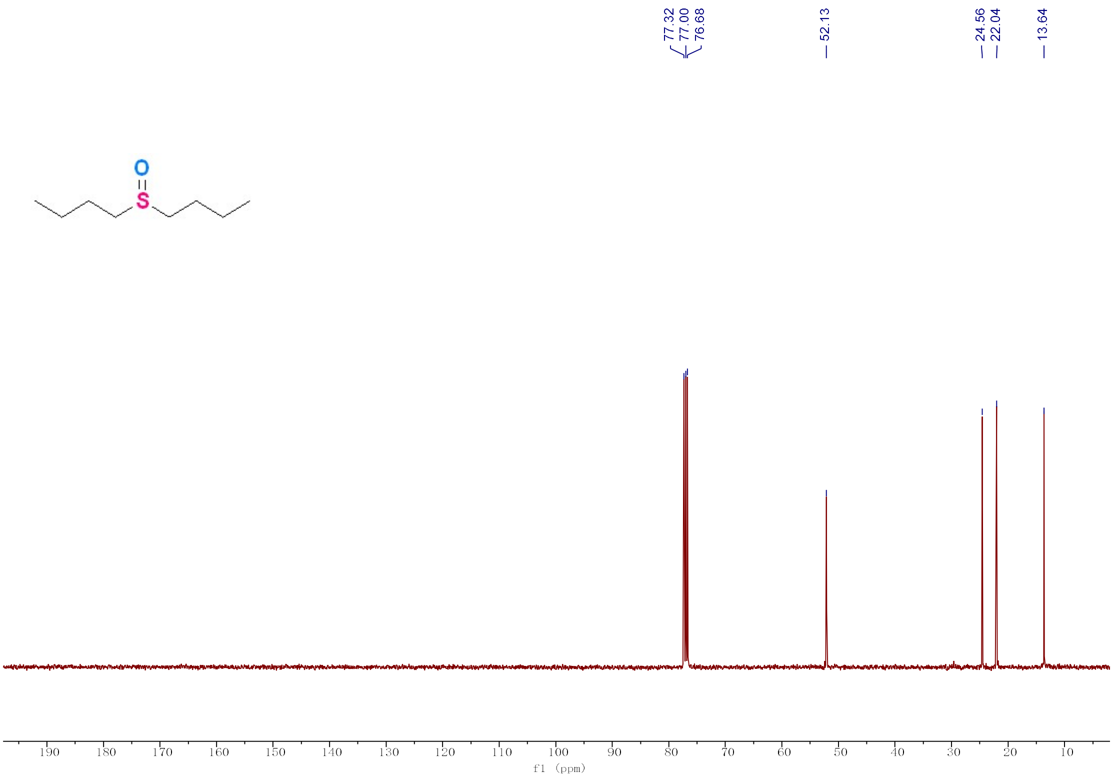
^{13}C NMR (100 MHz) Spectrum of 47 in $CDCl_3$



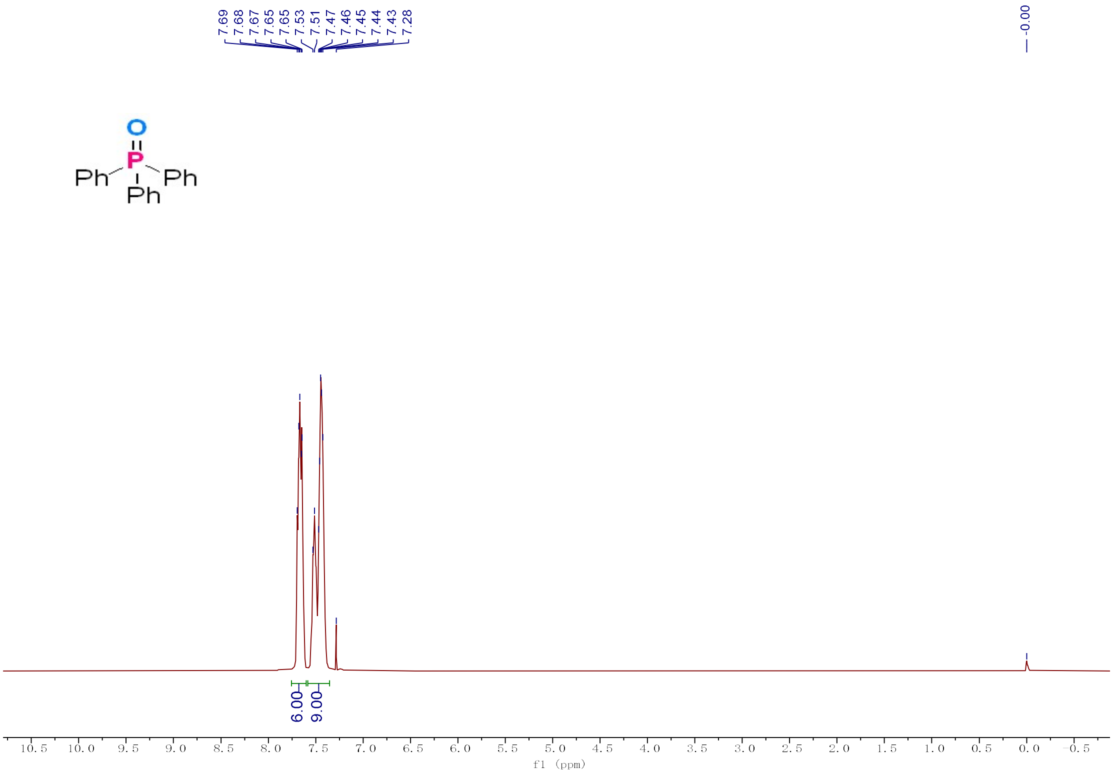
^1H NMR (400 MHz) Spectrum of 48 in $CDCl_3$



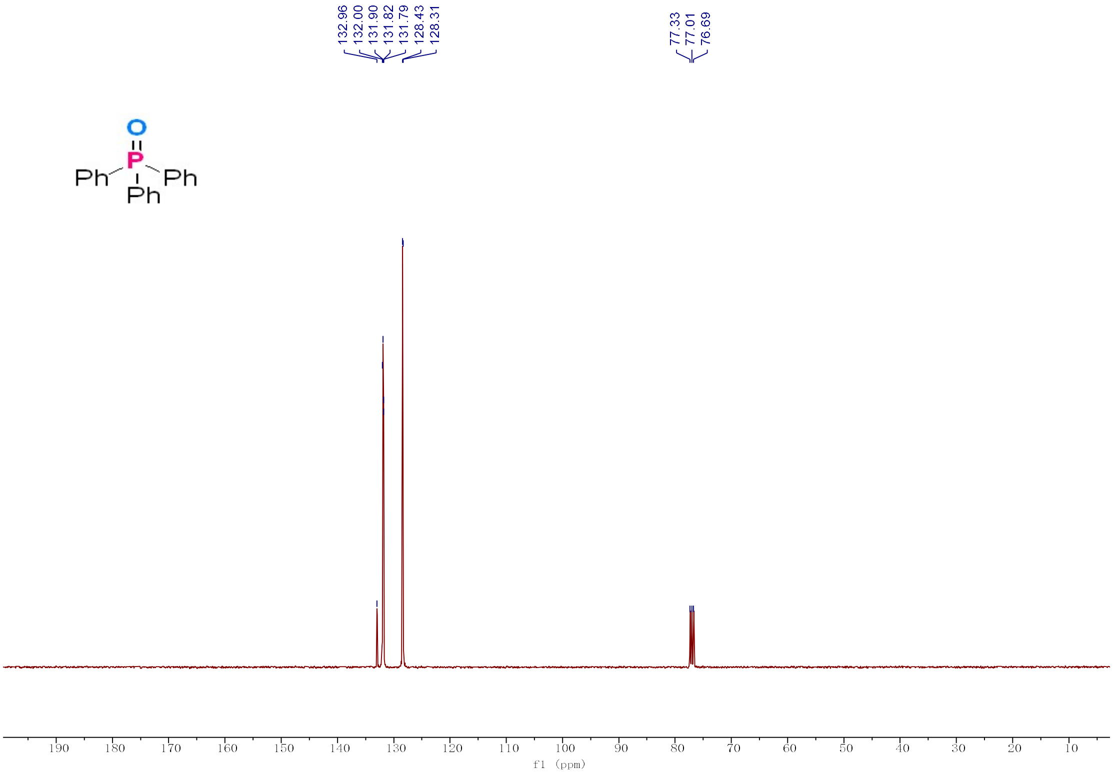
^{13}C NMR (100 MHz) Spectrum of 48 in $CDCl_3$



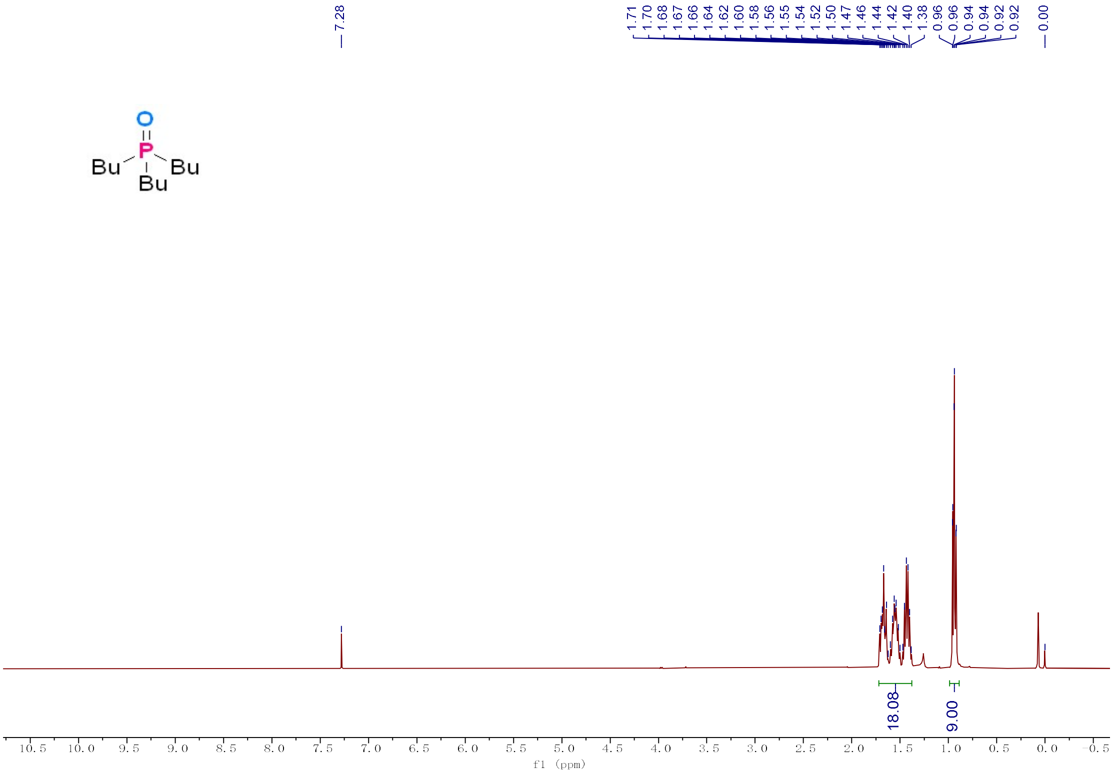
^{1}H NMR (400 MHz) Spectrum of 49 in $CDCl_3$



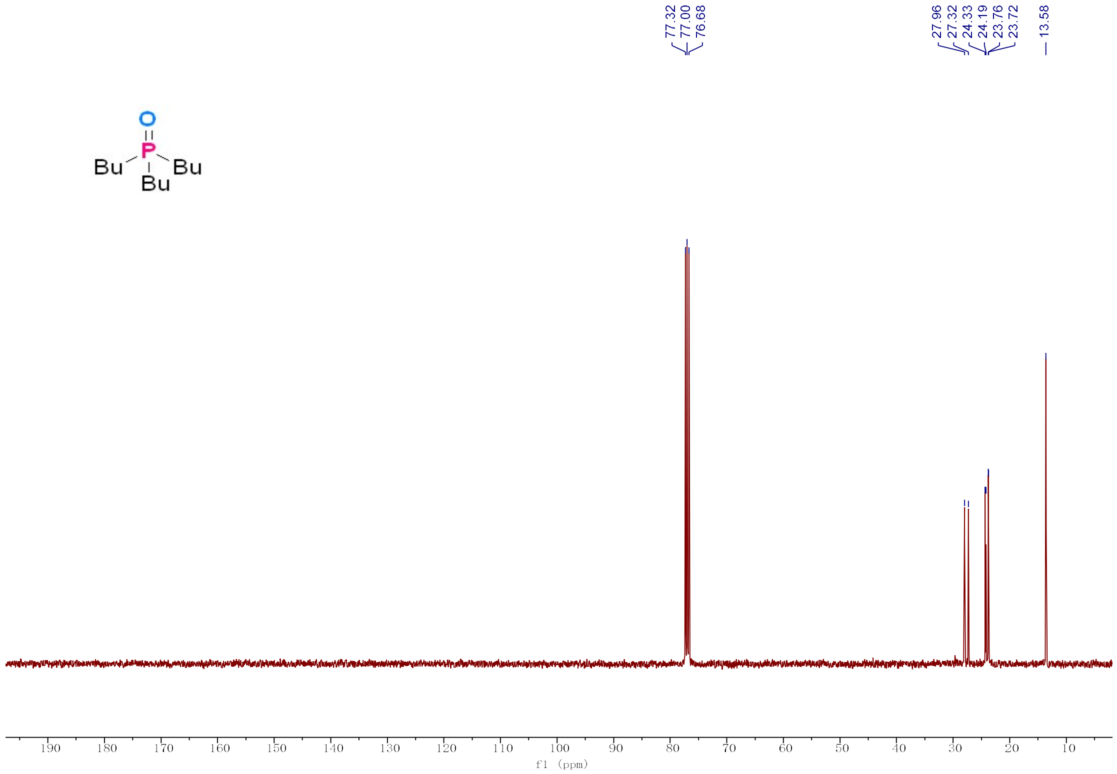
^{13}C NMR (100 MHz) Spectrum of 49 in $CDCl_3$



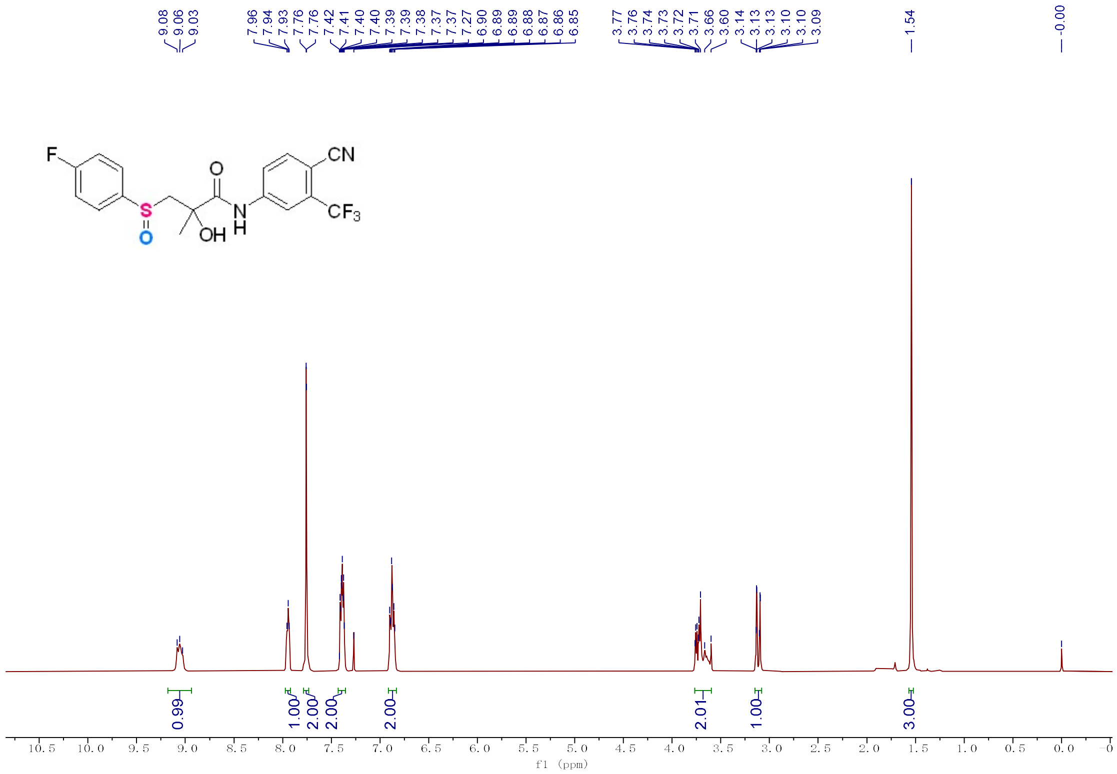
^1H NMR (400 MHz) Spectrum of 50 in $CDCl_3$



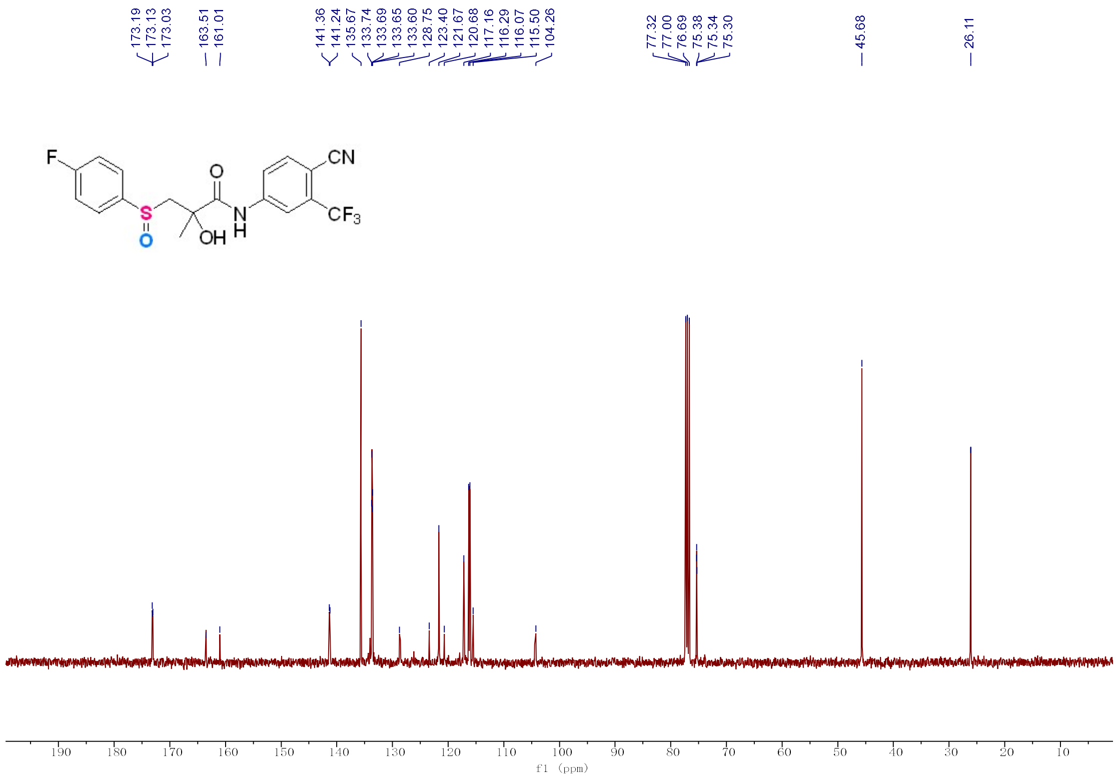
^{13}C NMR (100 MHz) Spectrum of 50 in CDCl$_3$



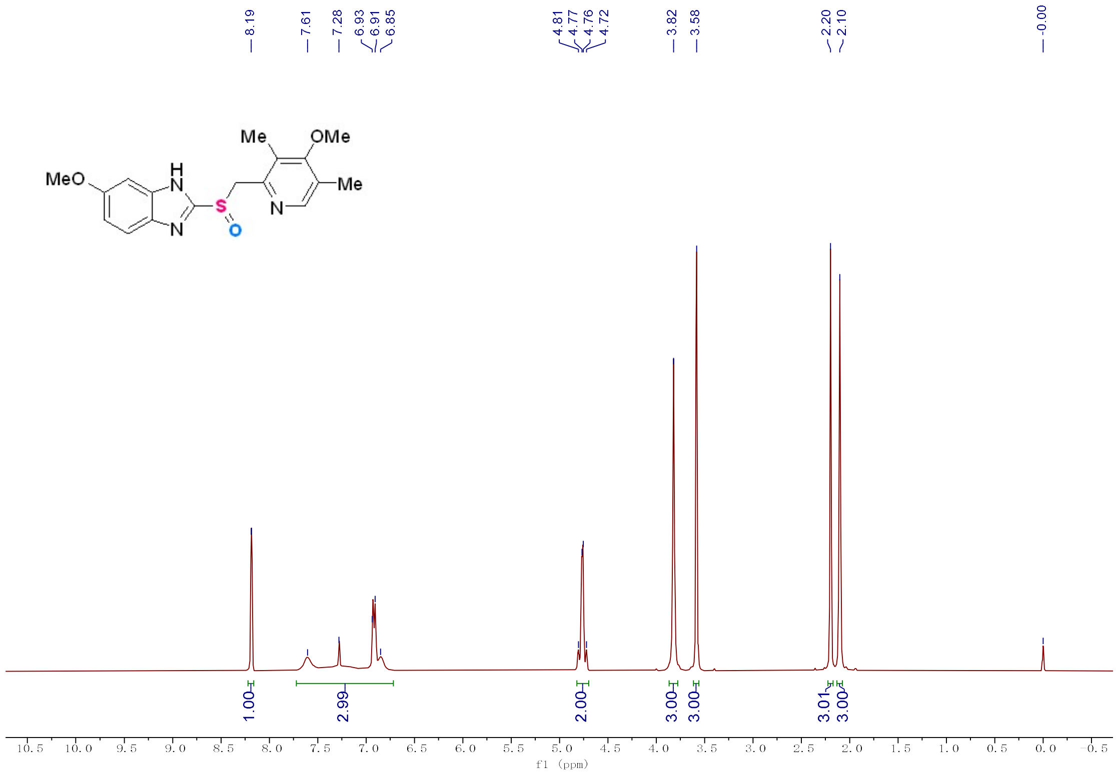
^{1}H NMR (400 MHz) Spectrum of 51 in CDCl$_3$



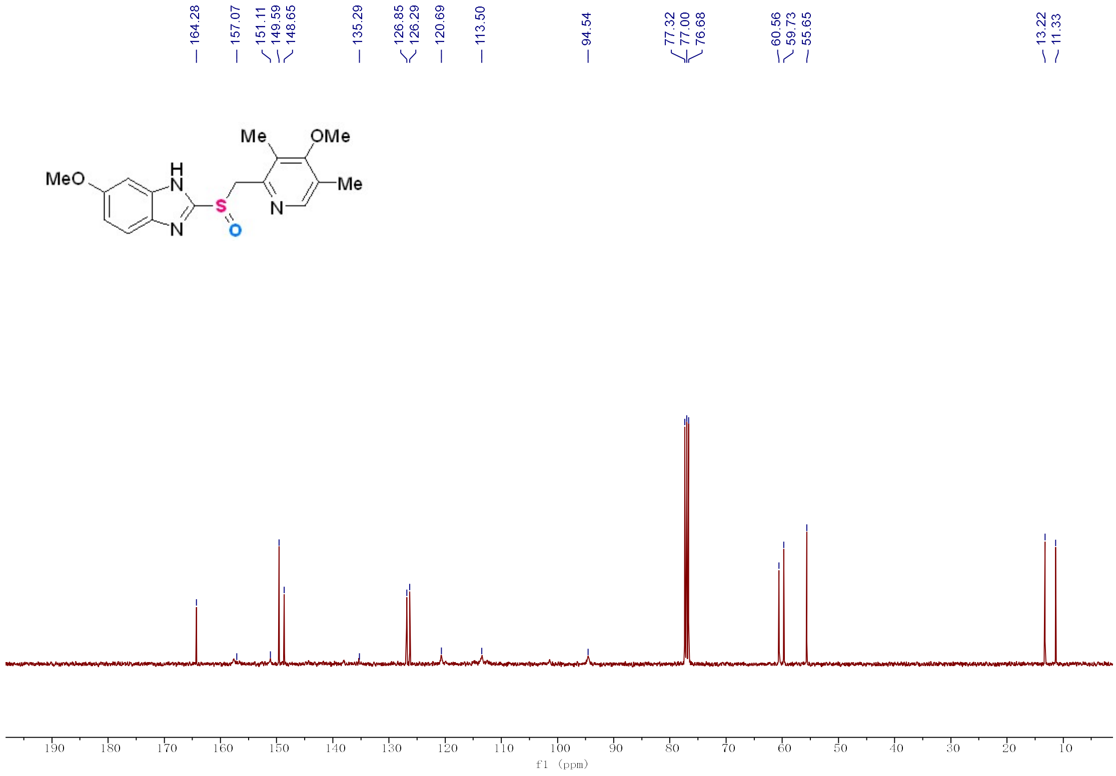
^{13}C NMR (100 MHz) Spectrum of 51 in CDCl$_3$



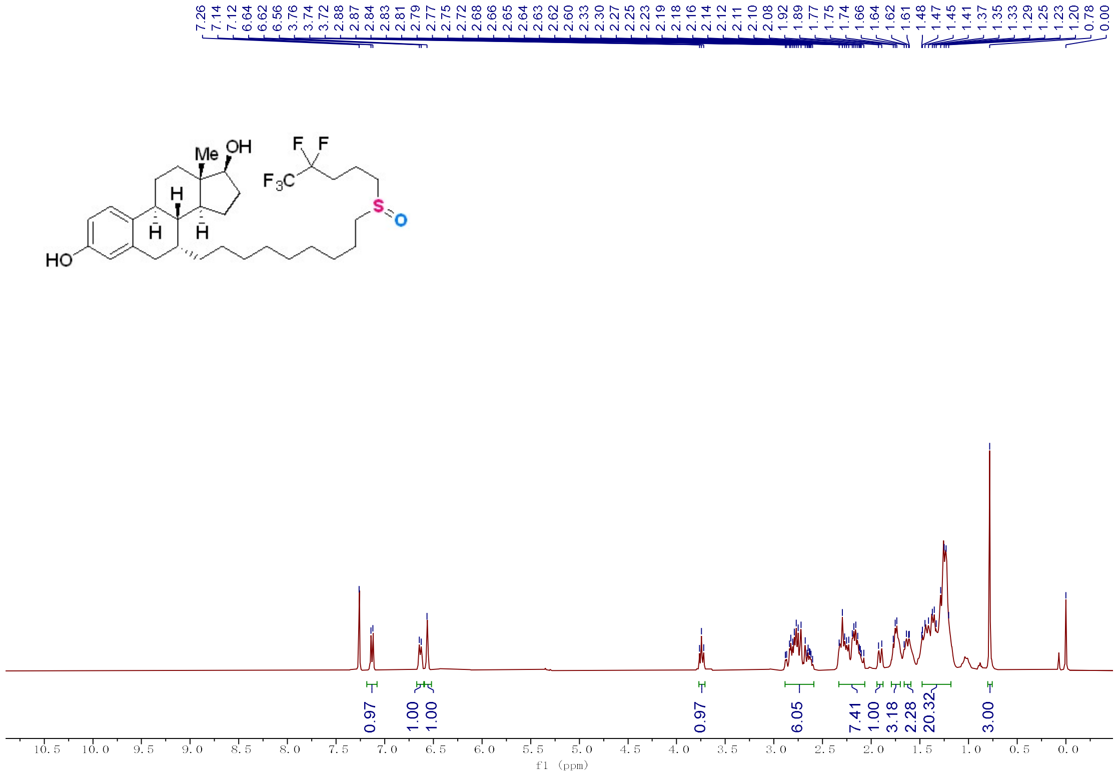
^{1}H NMR (400 MHz) Spectrum of 52 in CDCl$_3$



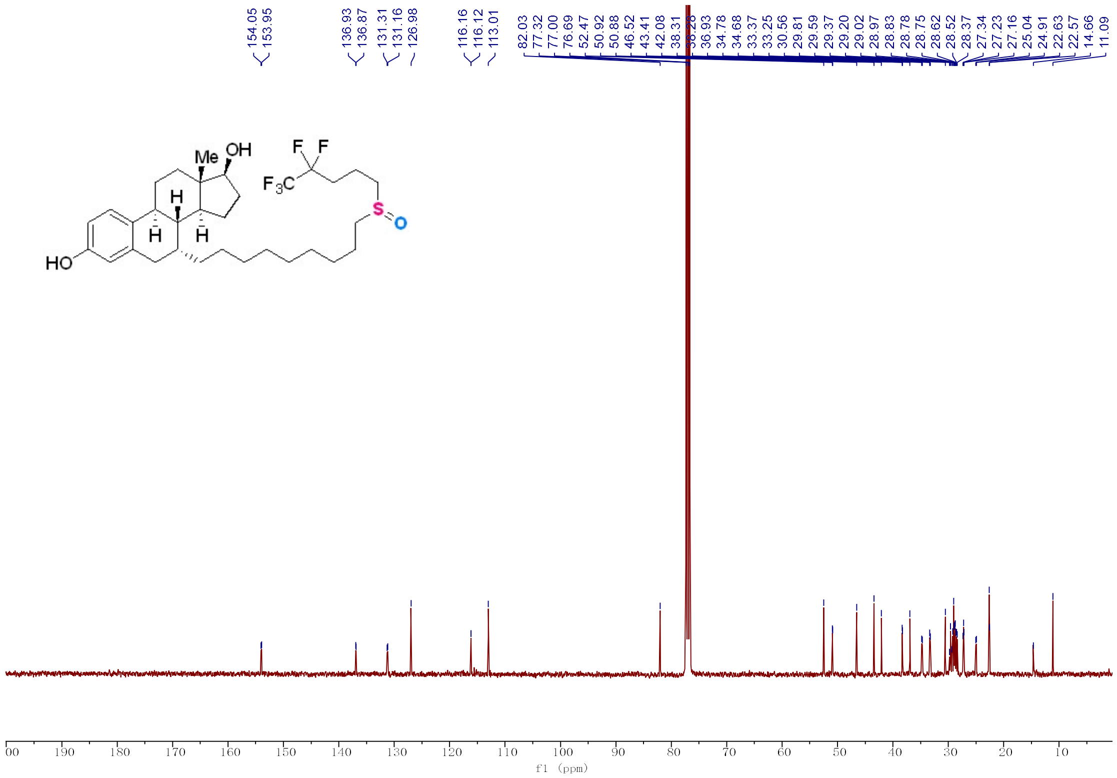
^{13}C NMR (100 MHz) Spectrum of 52 in $CDCl_3$



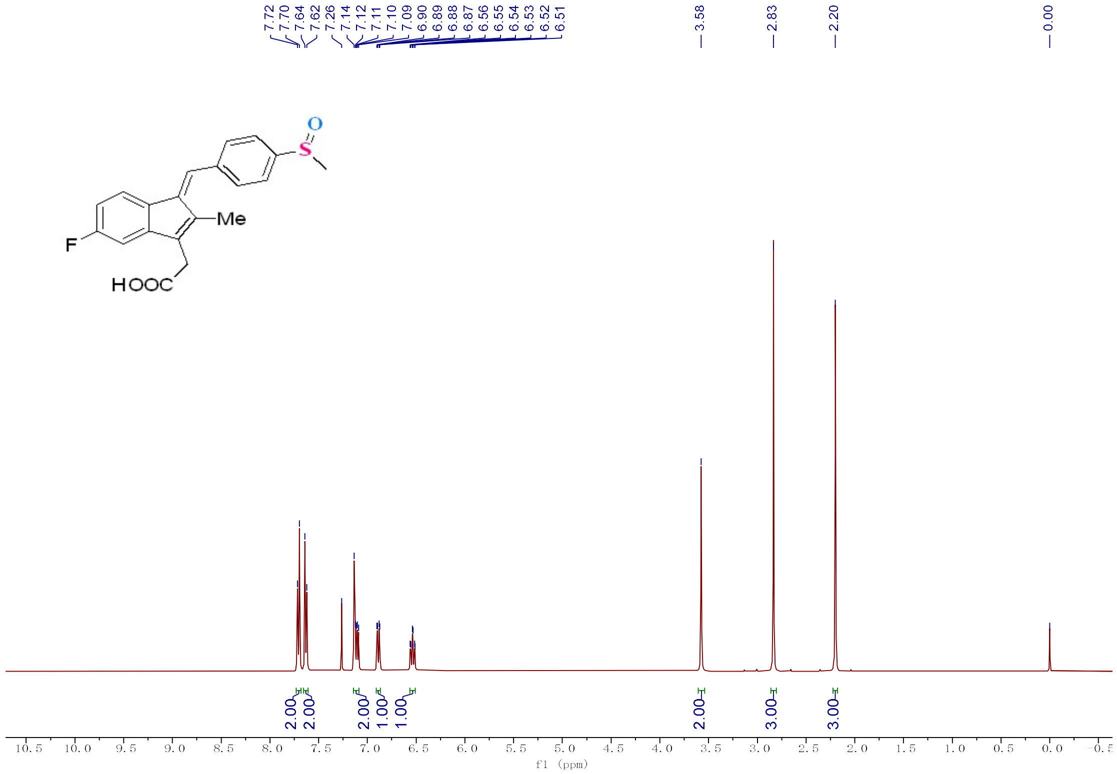
^{1}H NMR (400 MHz) Spectrum of 53 in $CDCl_3$



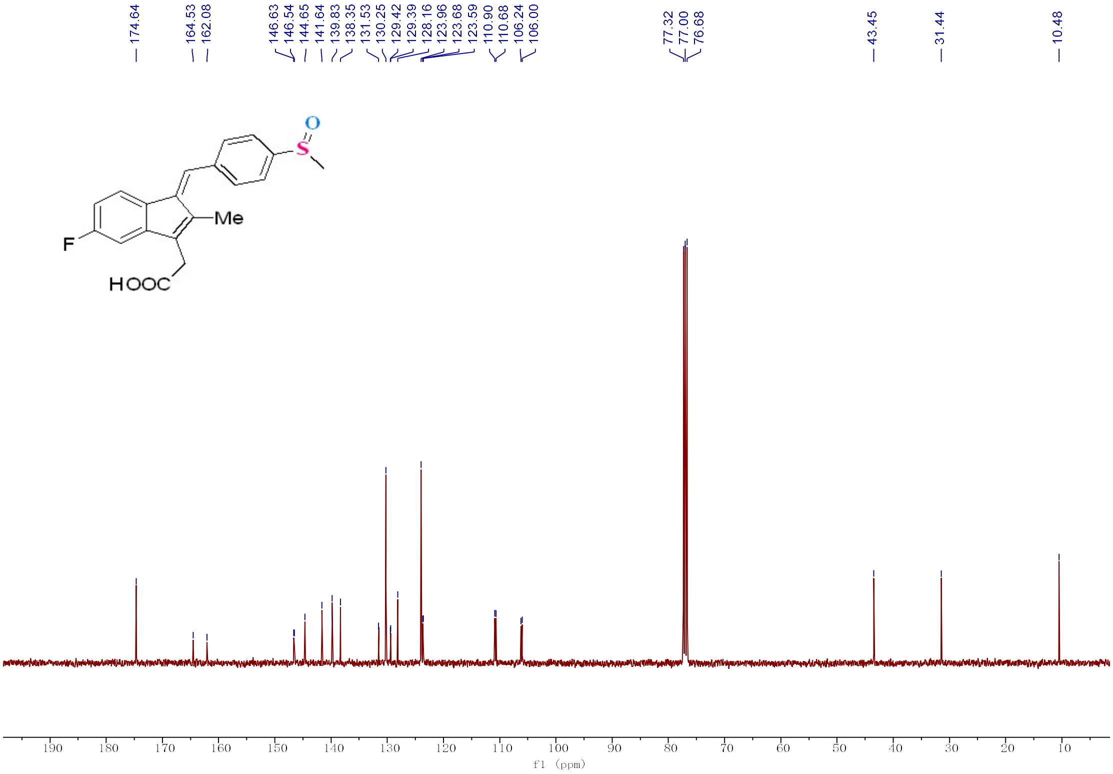
^{13}C NMR (100 MHz) Spectrum of 53 in CDCl$_3$



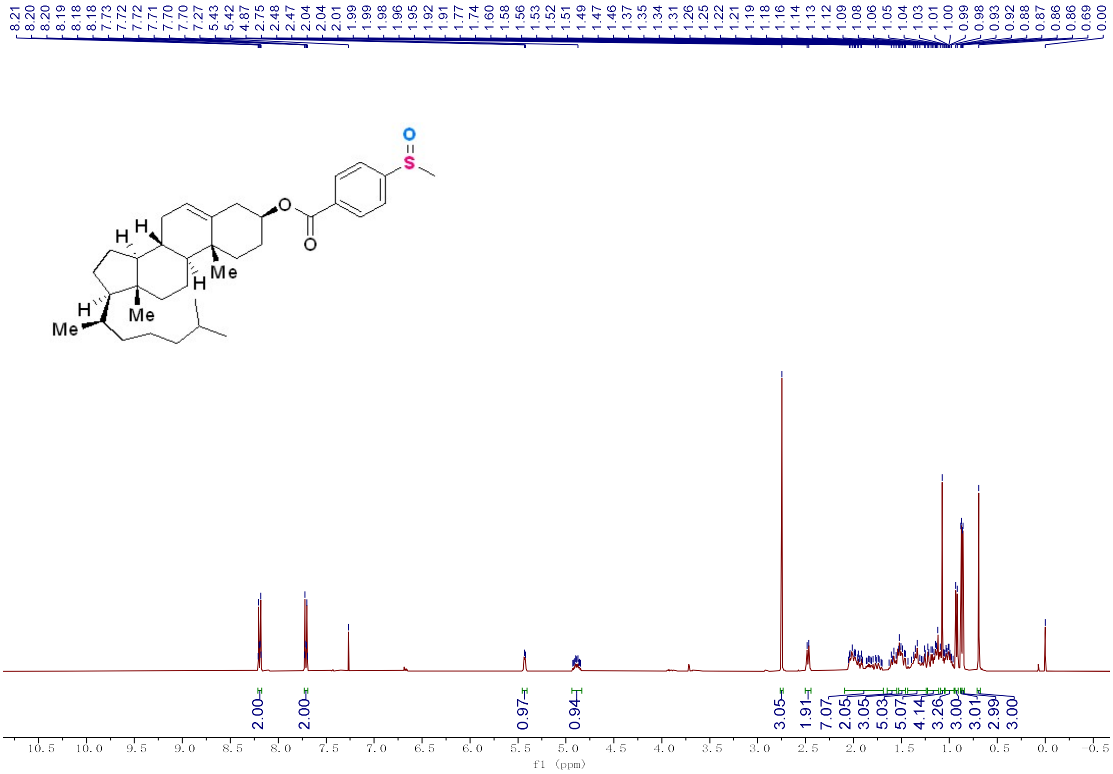
^{1}H NMR (400 MHz) Spectrum of 54 in CDCl$_3$



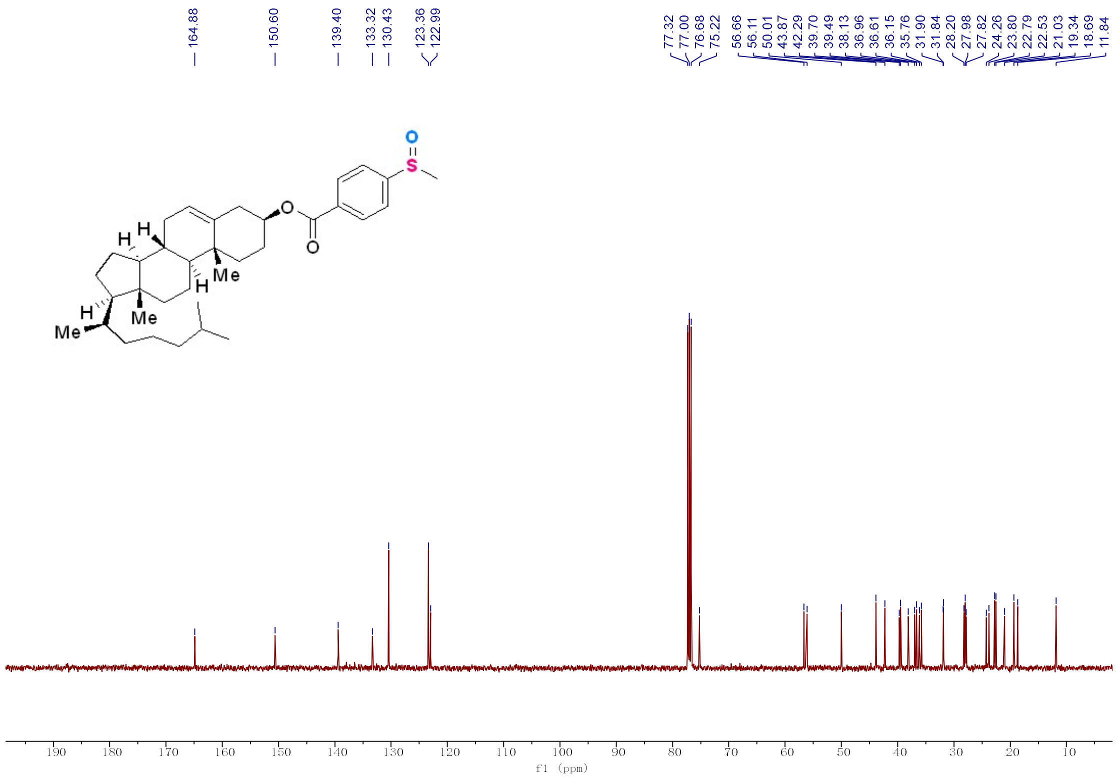
^{13}C NMR (100 MHz) Spectrum of 54 in $CDCl_3$



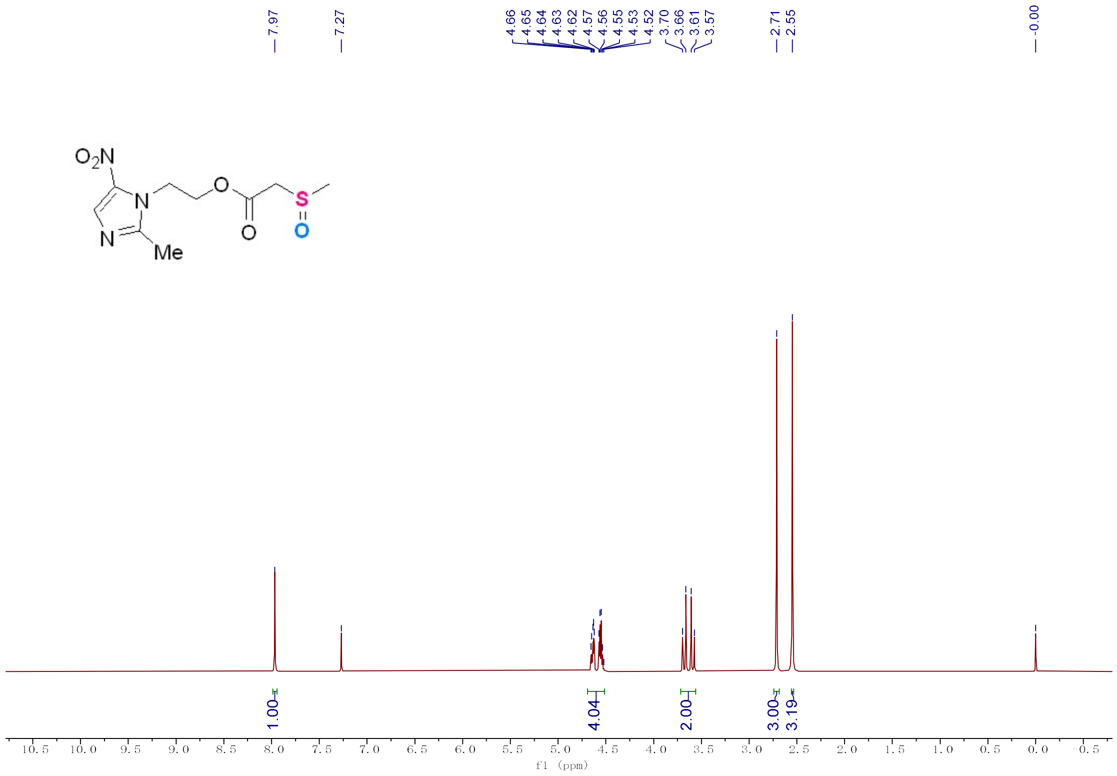
^{1}H NMR (400 MHz) Spectrum of 55 in $CDCl_3$



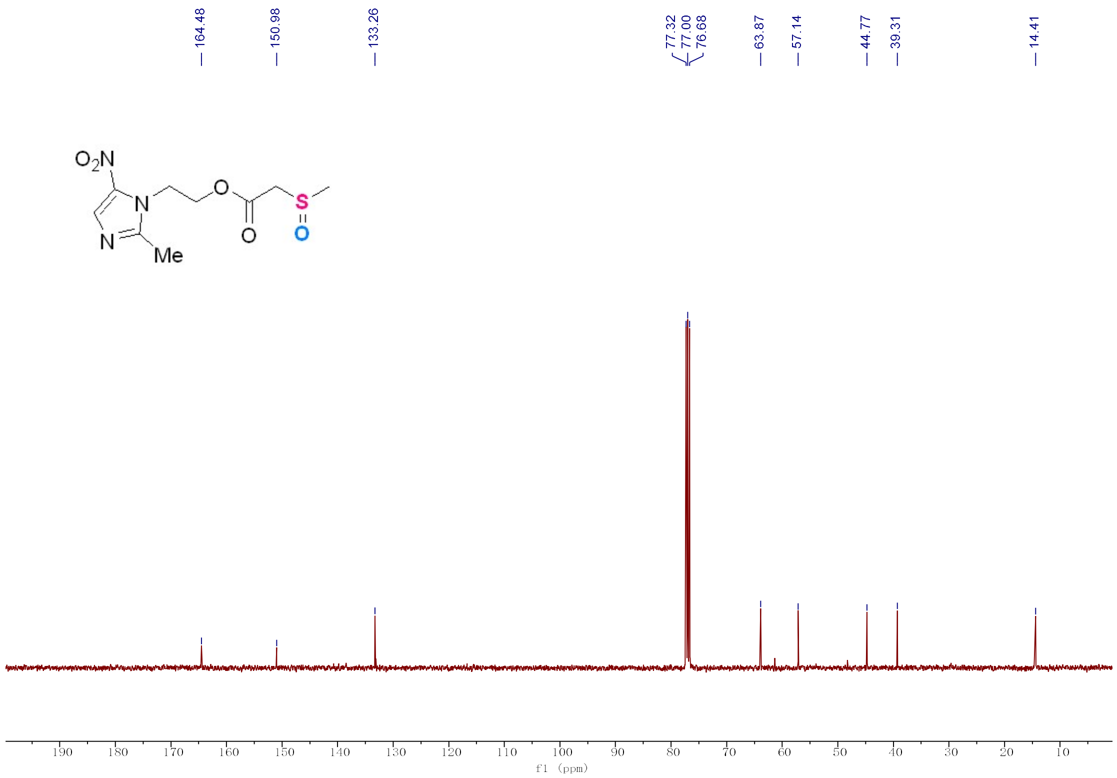
^{13}C NMR (100 MHz) Spectrum of 55 in CDCl$_3$



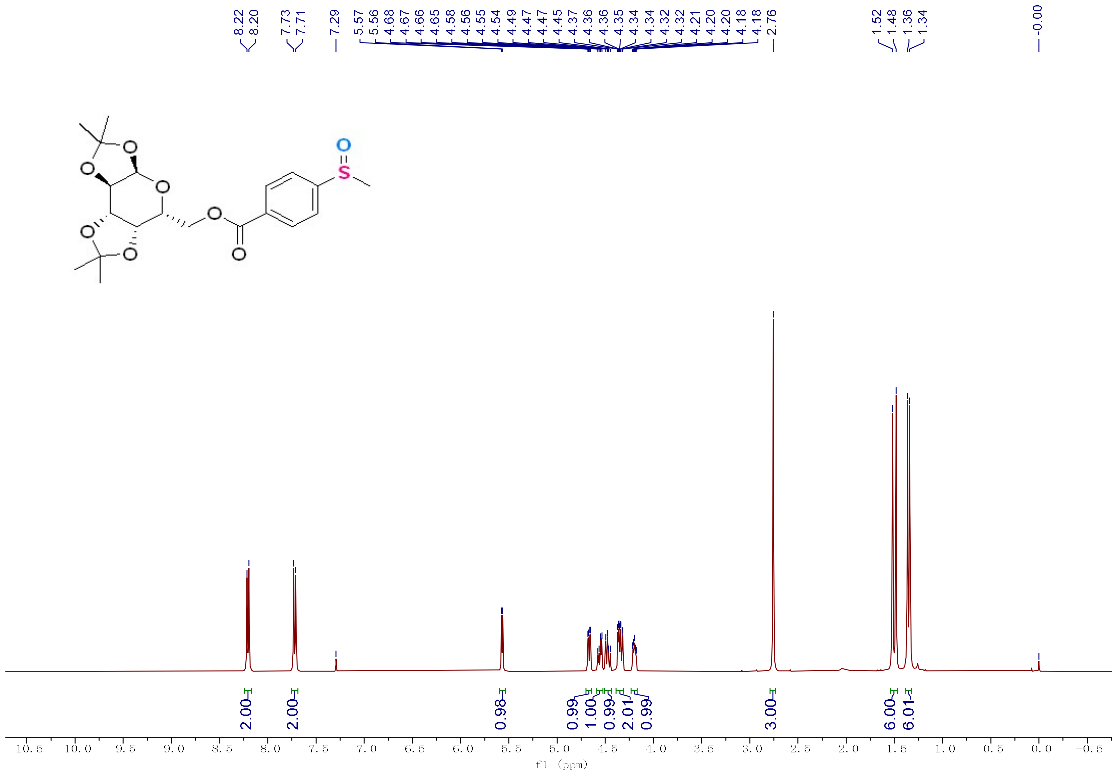
^{1}H NMR (400 MHz) Spectrum of 56 in CDCl$_3$



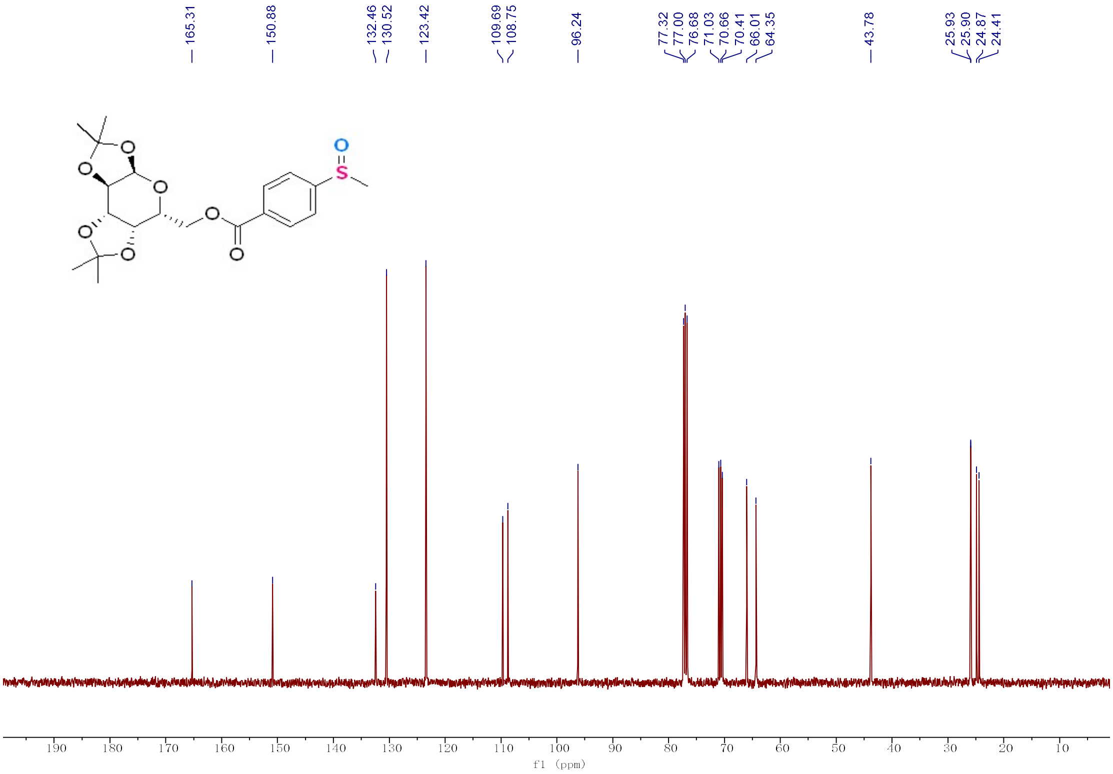
^{13}C NMR (100 MHz) Spectrum of 56 in $CDCl_3$



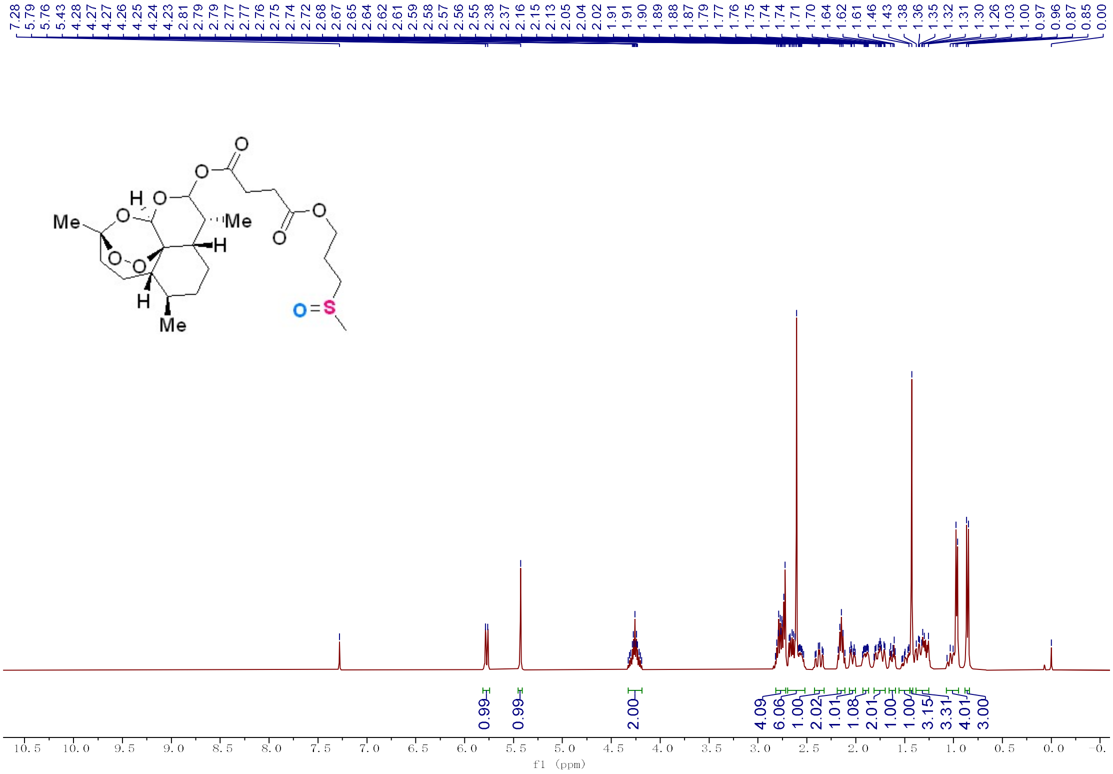
^1H NMR (400 MHz) Spectrum of 57 in $CDCl_3$



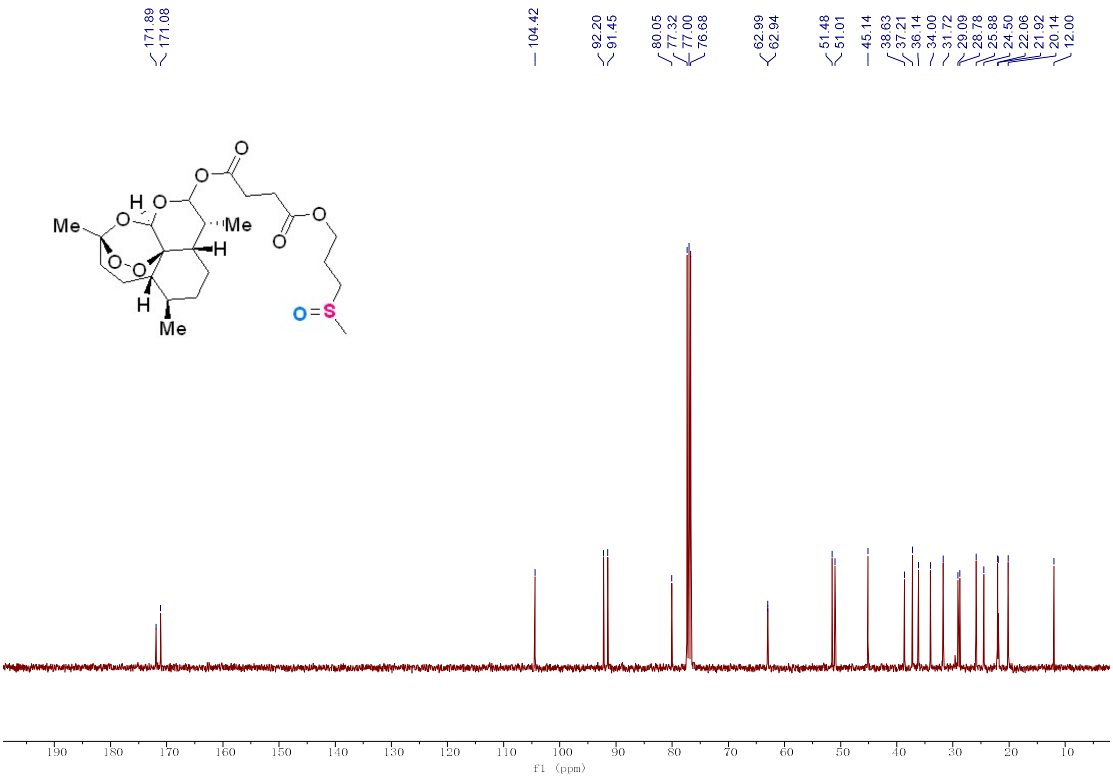
^{13}C NMR (100 MHz) Spectrum of 57 in CDCl$_3$



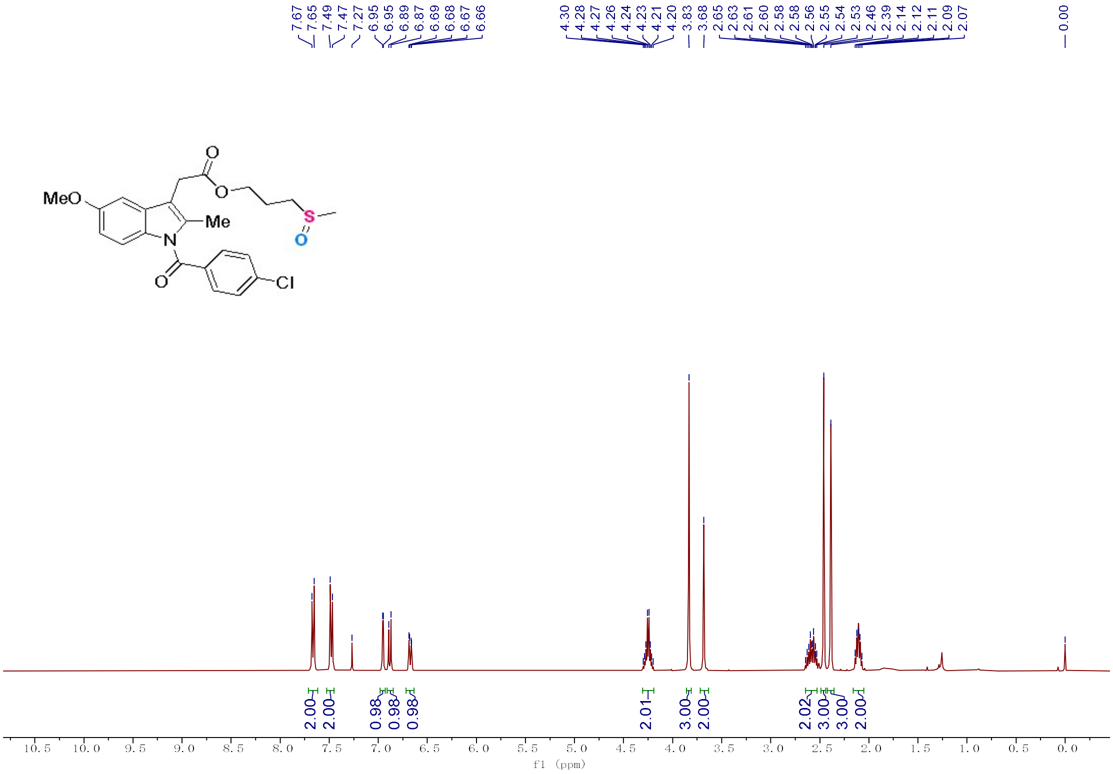
^{1}H NMR (400 MHz) Spectrum of 58 in CDCl$_3$



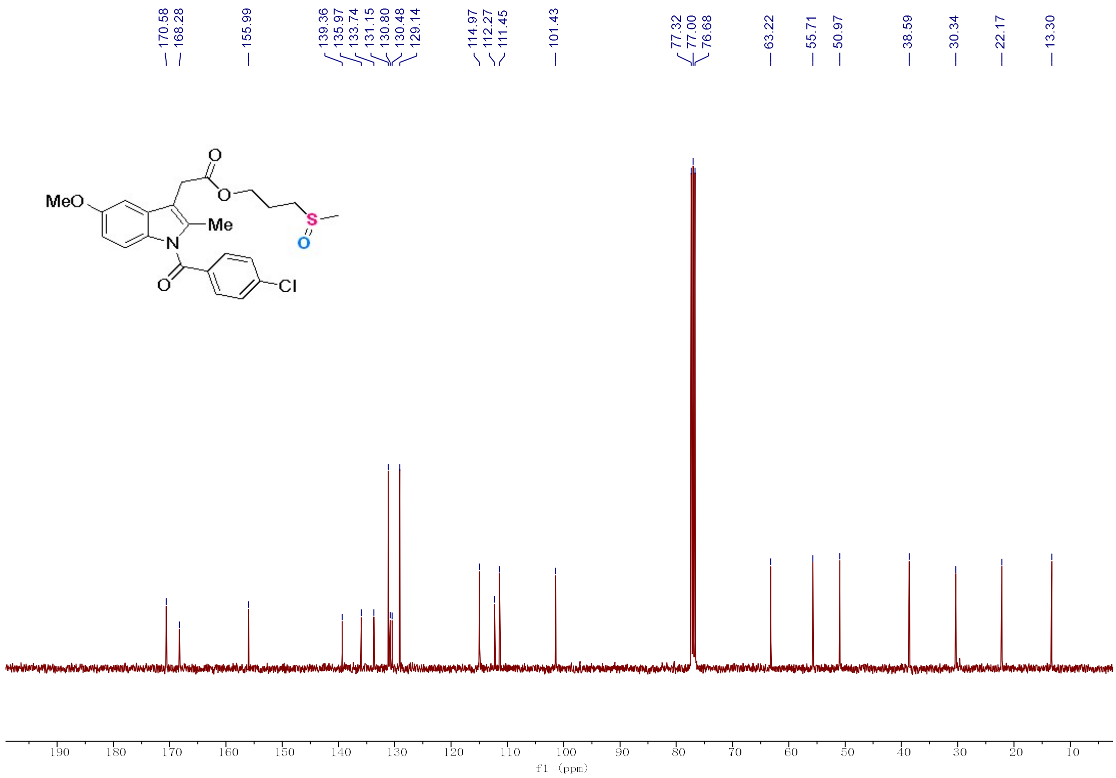
^{13}C NMR (100 MHz) Spectrum of 58 in $CDCl_3$



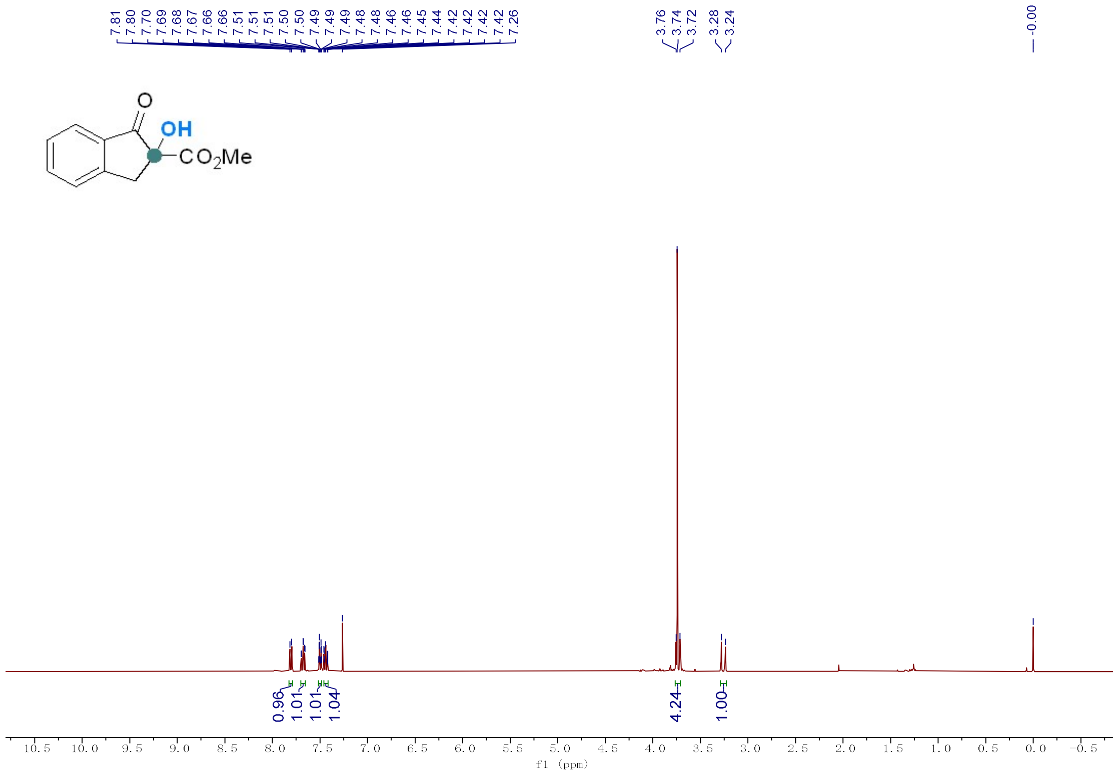
^{1}H NMR (400 MHz) Spectrum of 59 in $CDCl_3$



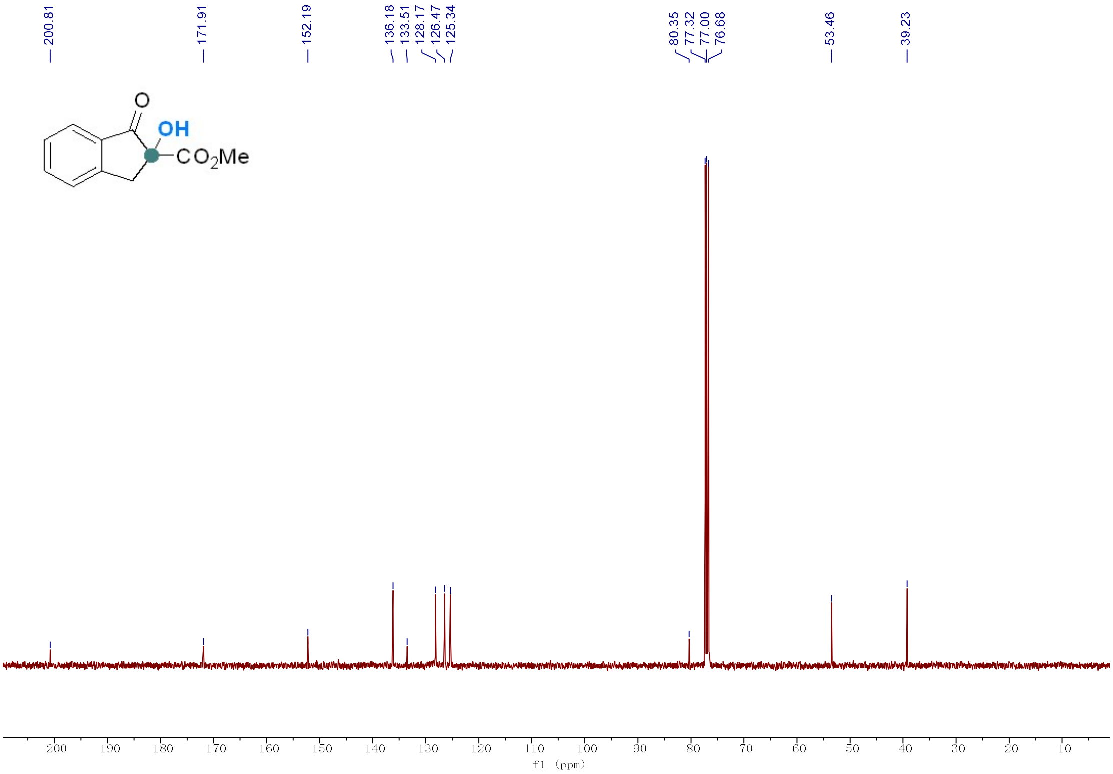
^{13}C NMR (100 MHz) Spectrum of 59 in CDCl$_3$



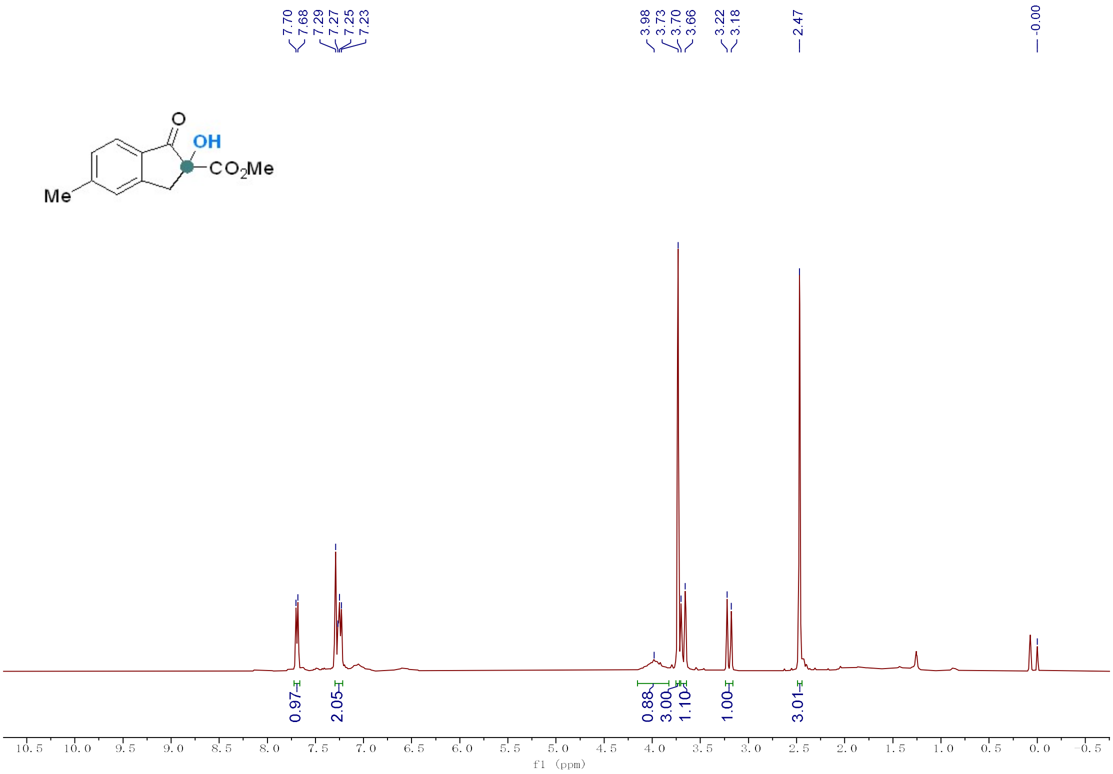
^{1}H NMR (400 MHz) Spectrum of 61 in CDCl$_3$



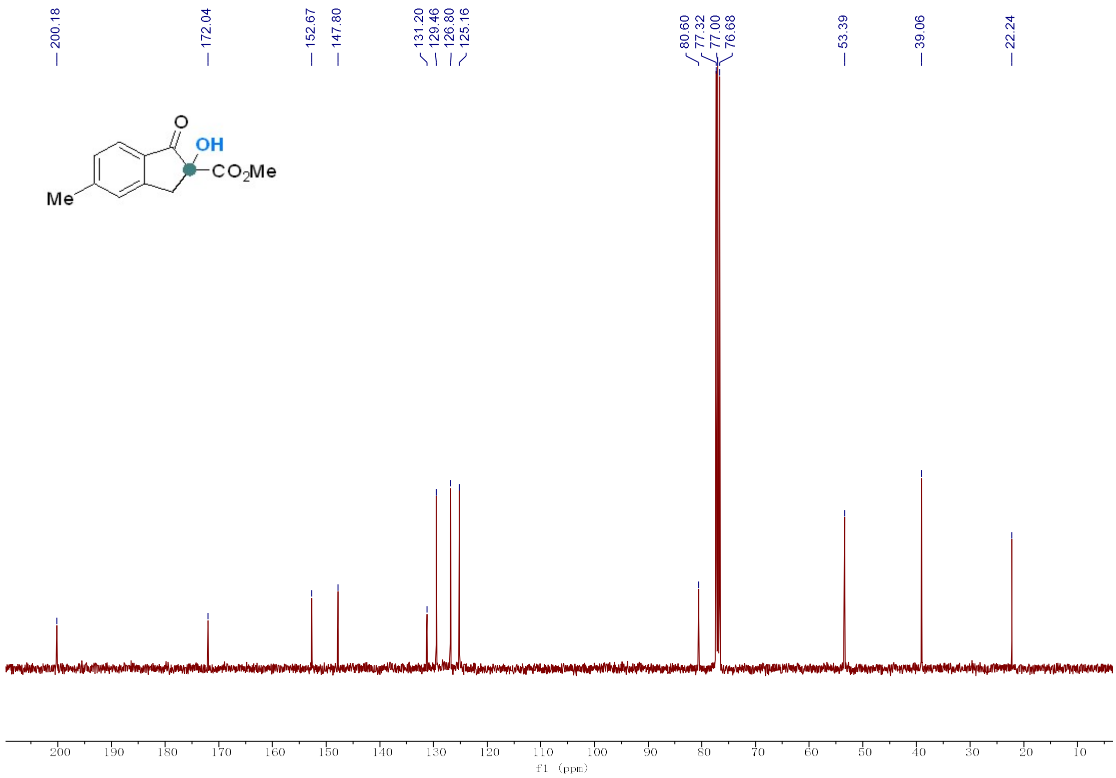
^{13}C NMR (100 MHz) Spectrum of 61 in $CDCl_3$



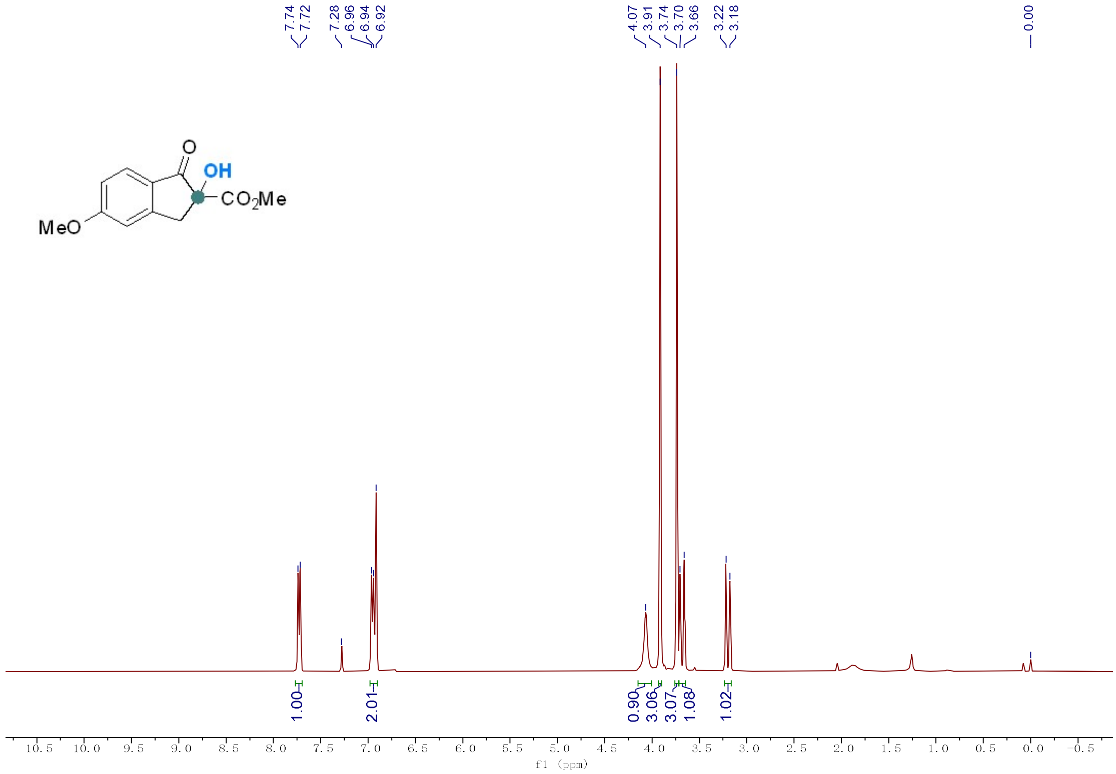
^{1}H NMR (400 MHz) Spectrum of 62 in $CDCl_3$



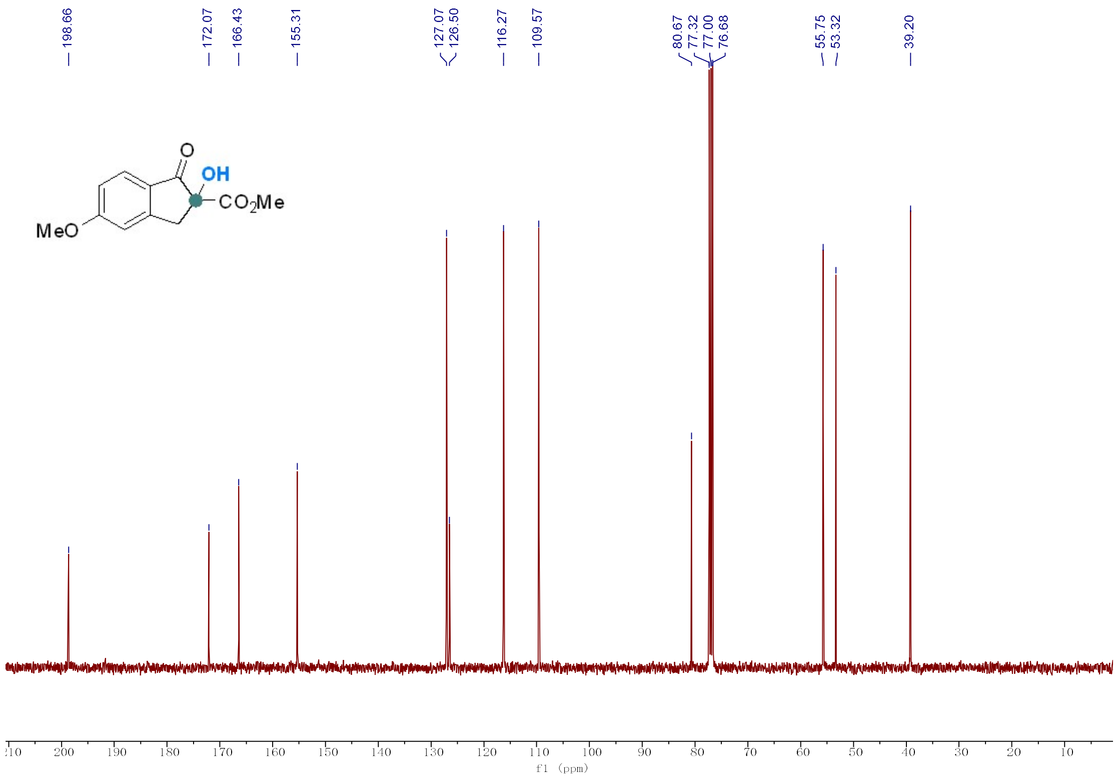
^{13}C NMR (100 MHz) Spectrum of 62 in CDCl$_3$



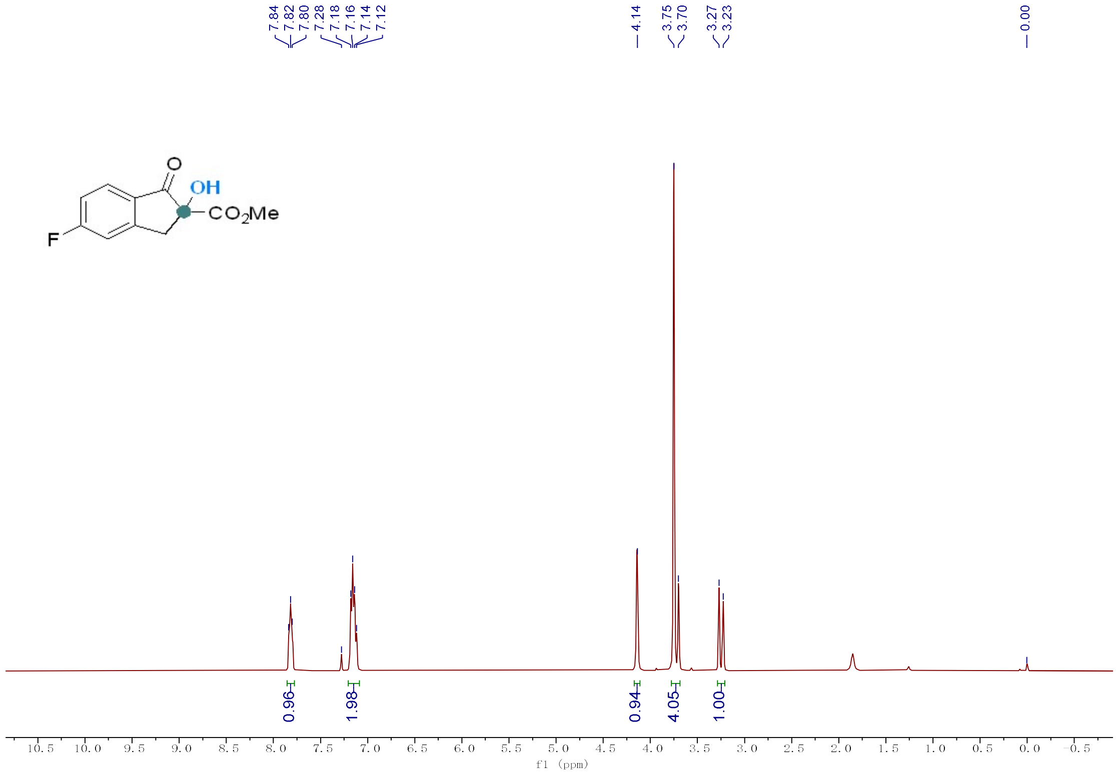
^{1}H NMR (400 MHz) Spectrum of 63 in CDCl$_3$



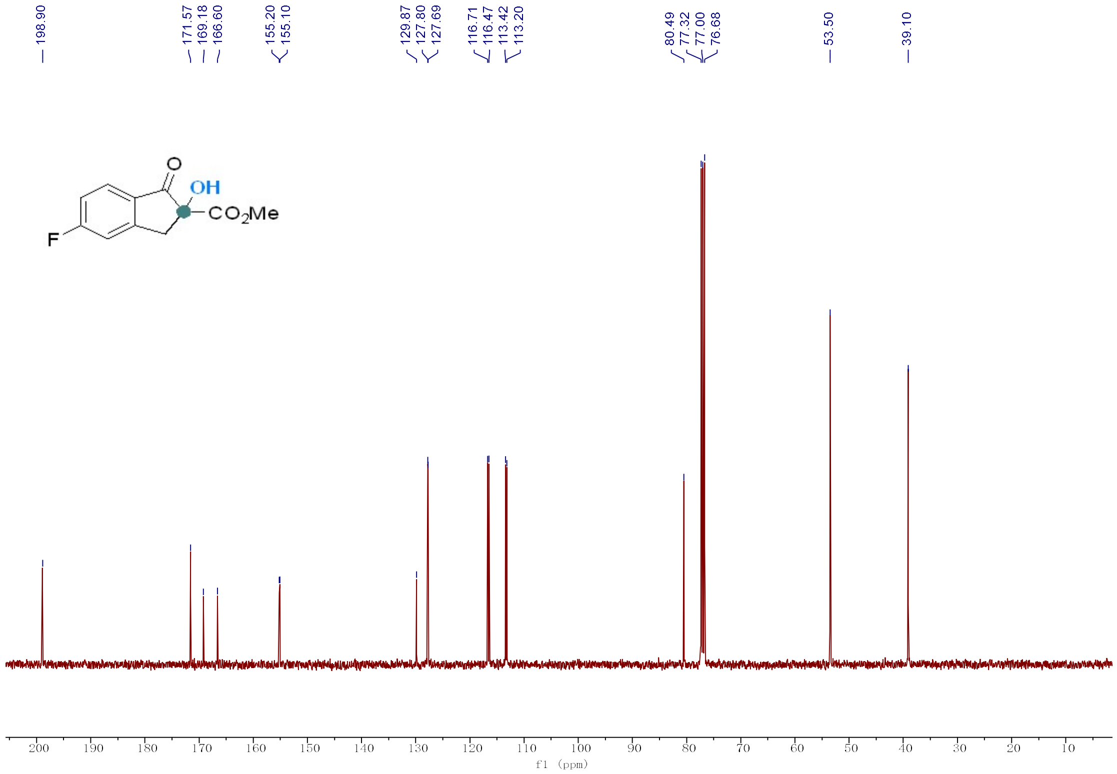
^{13}C NMR (100 MHz) Spectrum of 63 in CDCl$_3$



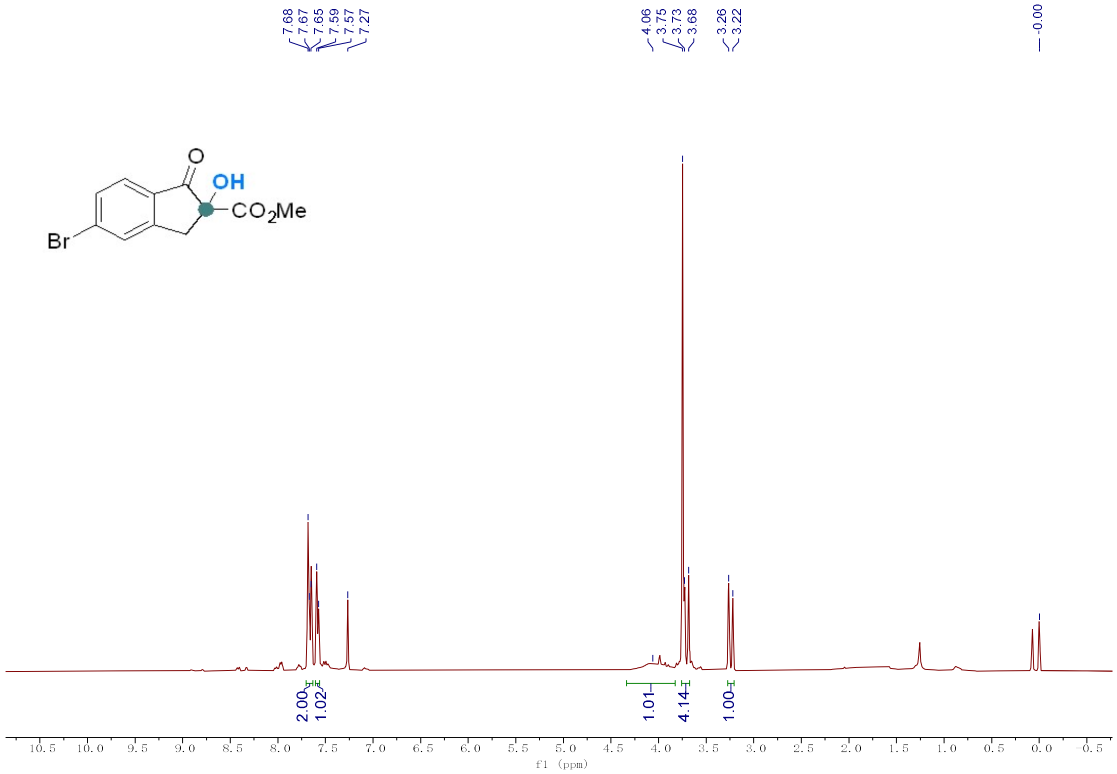
^{1}H NMR (400 MHz) Spectrum of 64 in CDCl$_3$



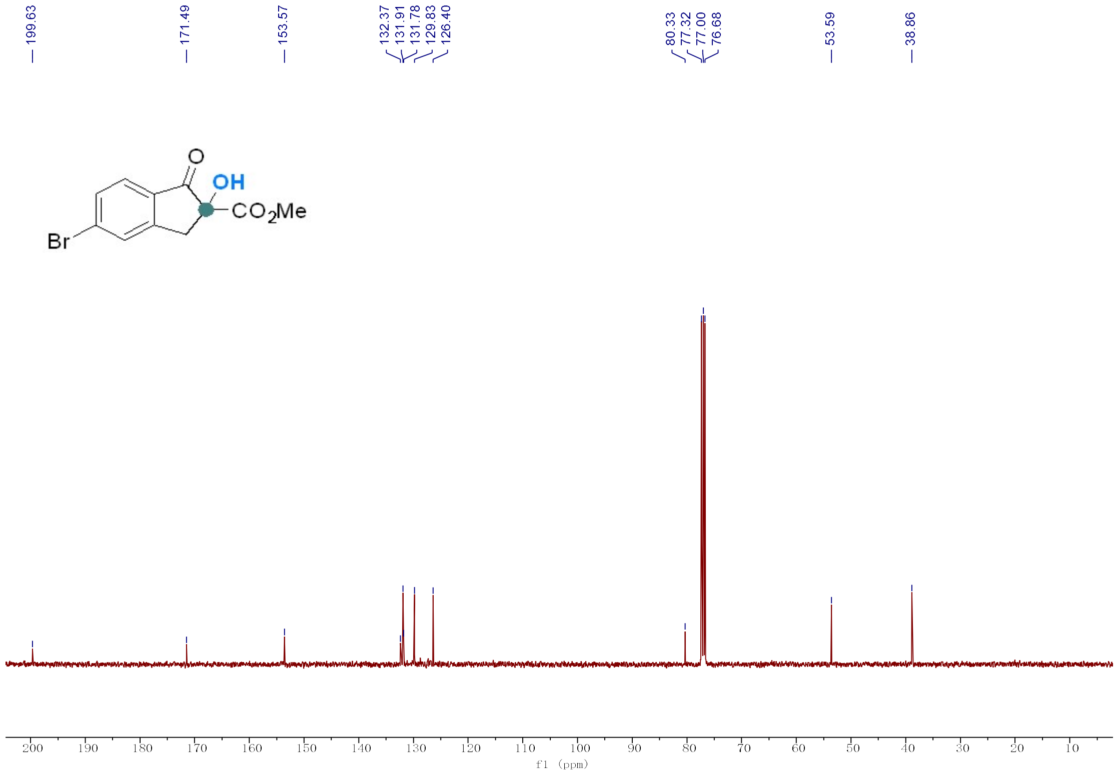
^{13}C NMR (100 MHz) Spectrum of 64 in CDCl$_3$



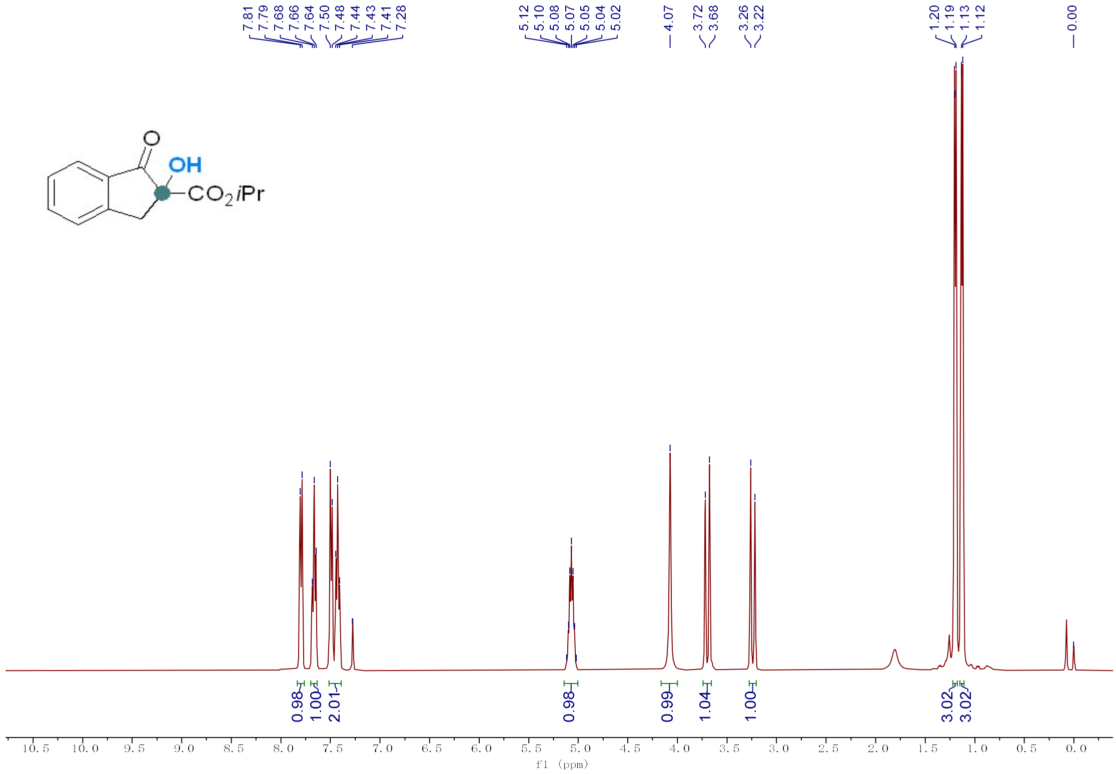
^{1}H NMR (400 MHz) Spectrum of 65 in CDCl$_3$



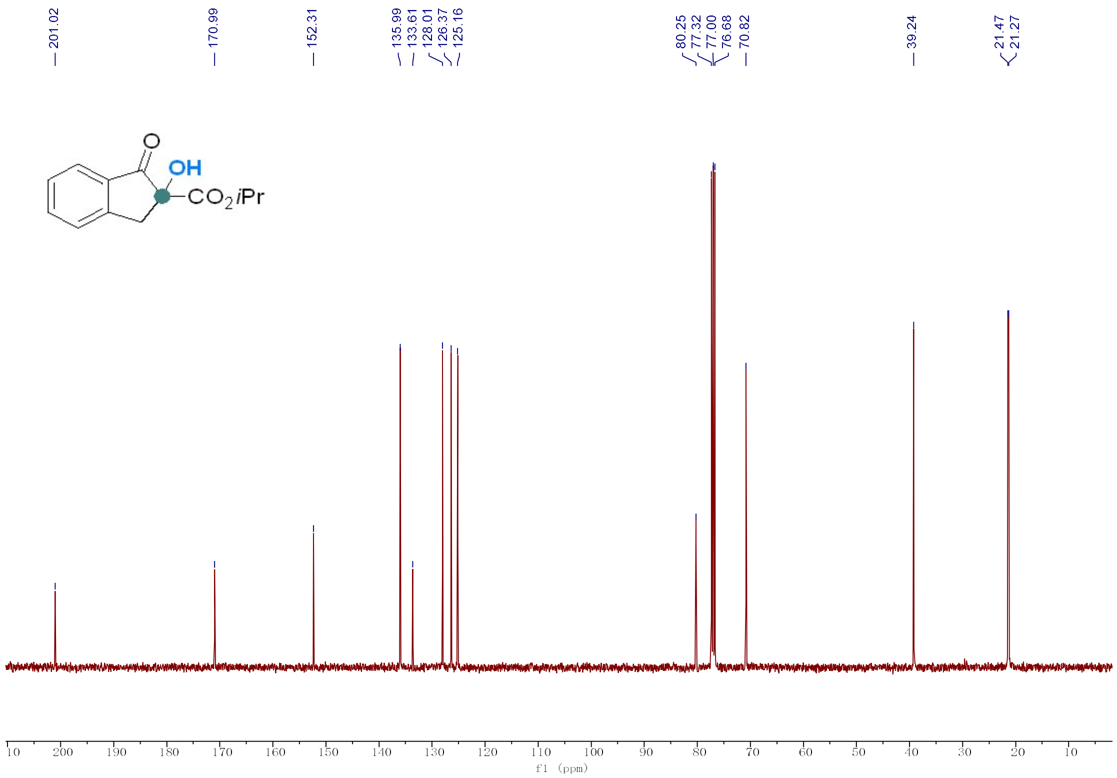
^{13}C NMR (100 MHz) Spectrum of 65 in CDCl$_3$



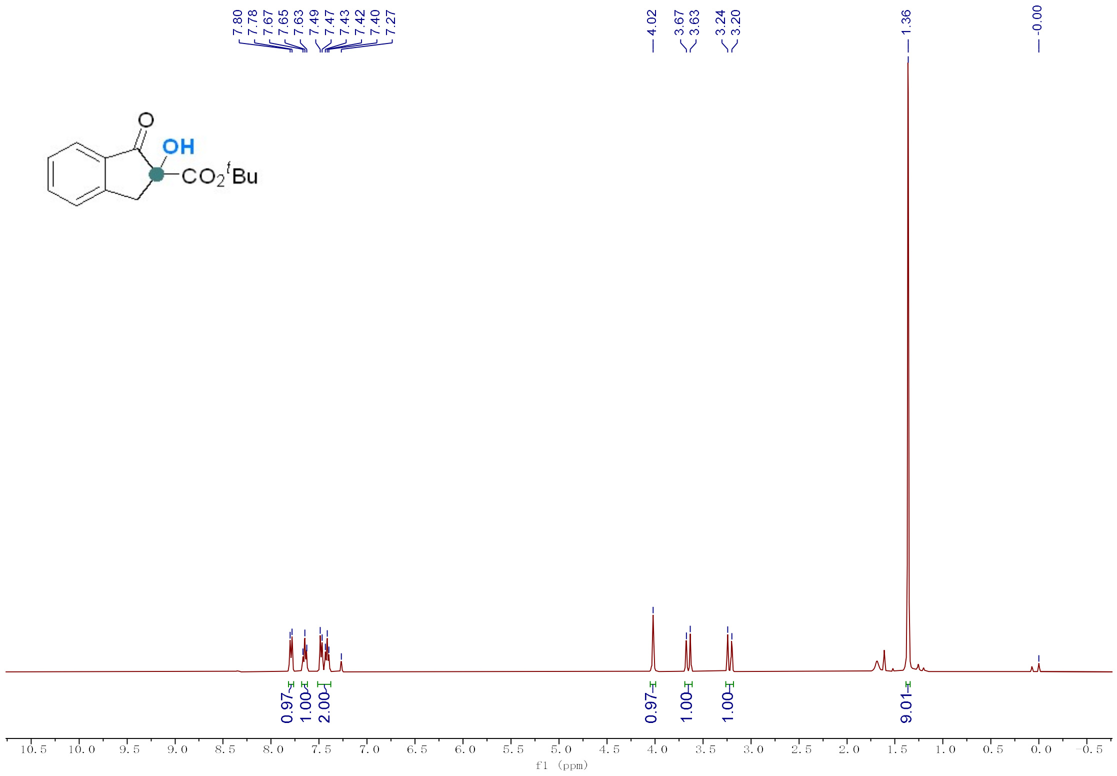
^{1}H NMR (400 MHz) Spectrum of 66 in CDCl$_3$



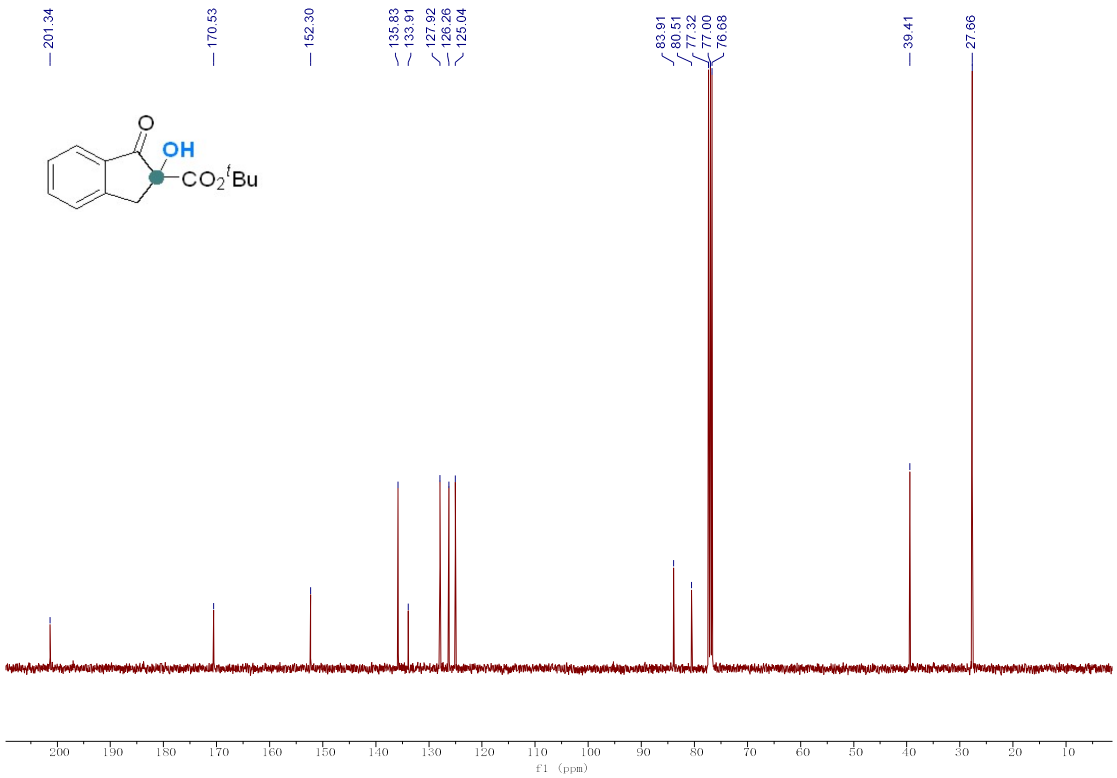
^{13}C NMR (100 MHz) Spectrum of 66 in $CDCl_3$



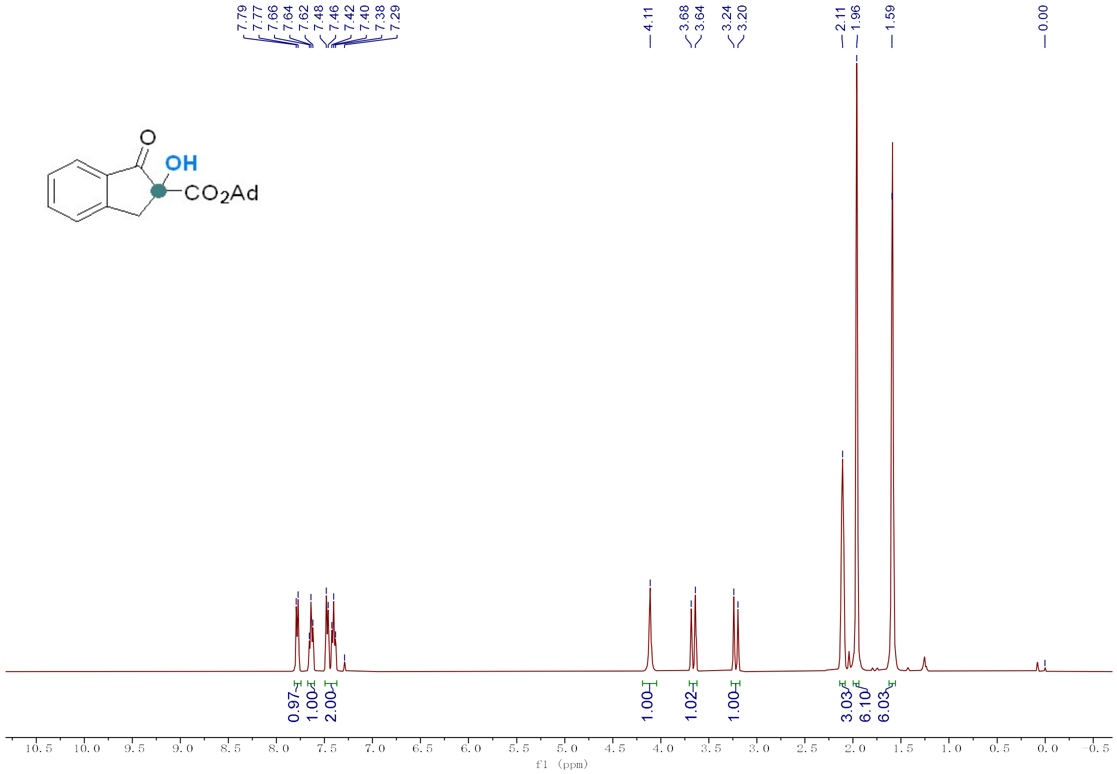
^{1}H NMR (400 MHz) Spectrum of 67 in $CDCl_3$



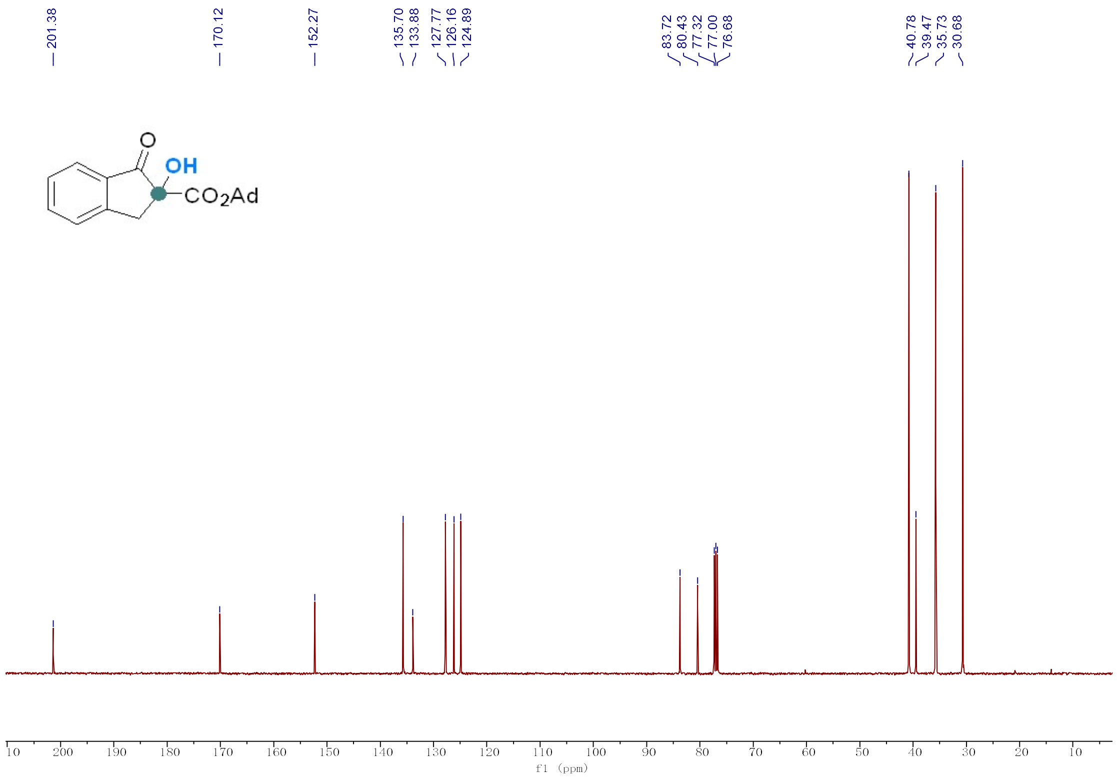
^{13}C NMR (100 MHz) Spectrum of 67 in $CDCl_3$



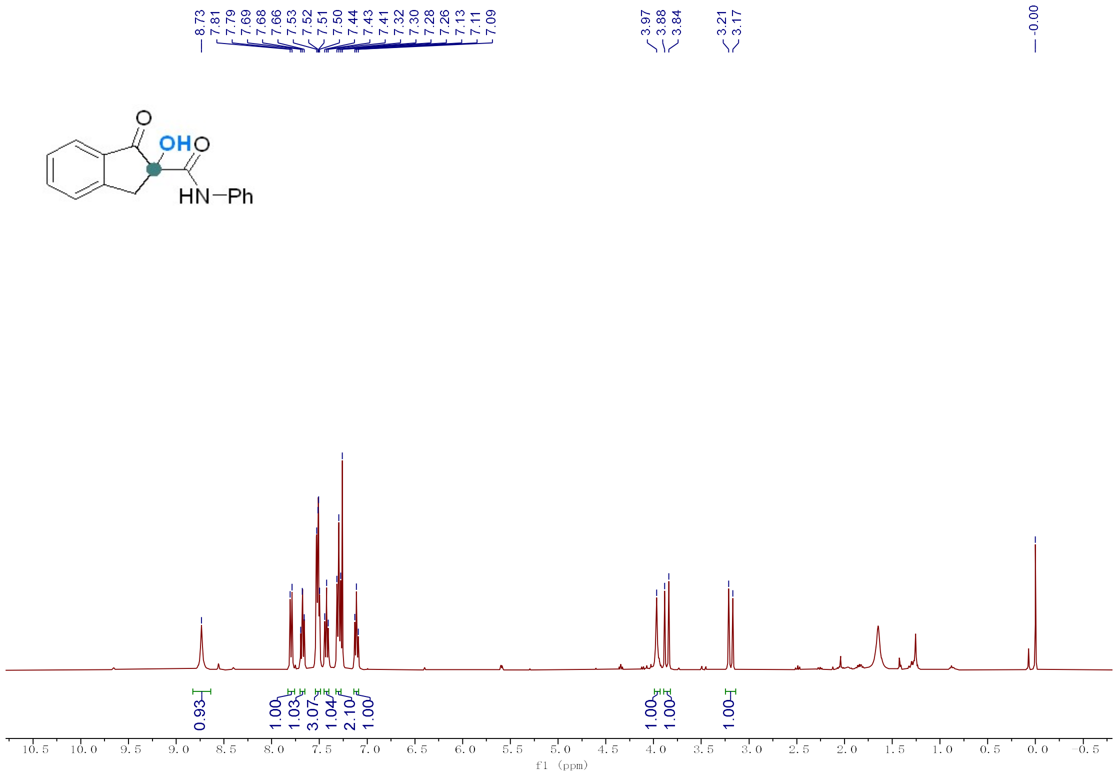
^{1}H NMR (400 MHz) Spectrum of 68 in $CDCl_3$



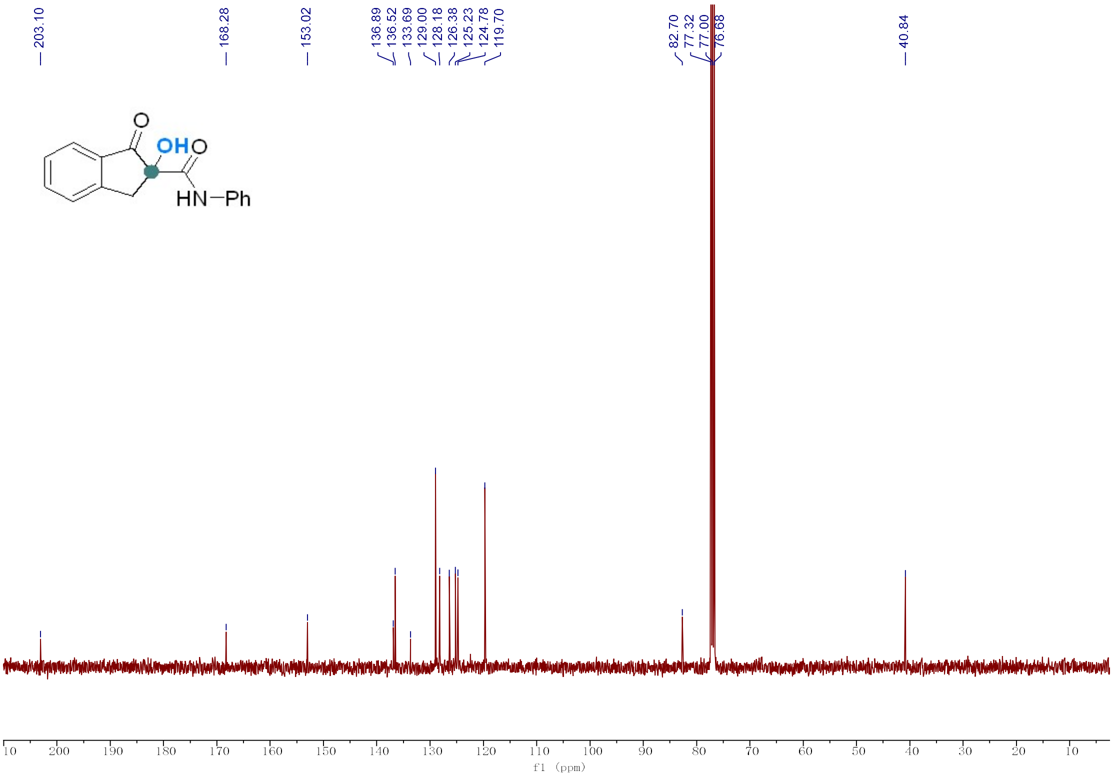
^{13}C NMR (100 MHz) Spectrum of 68 in CDCl$_3$



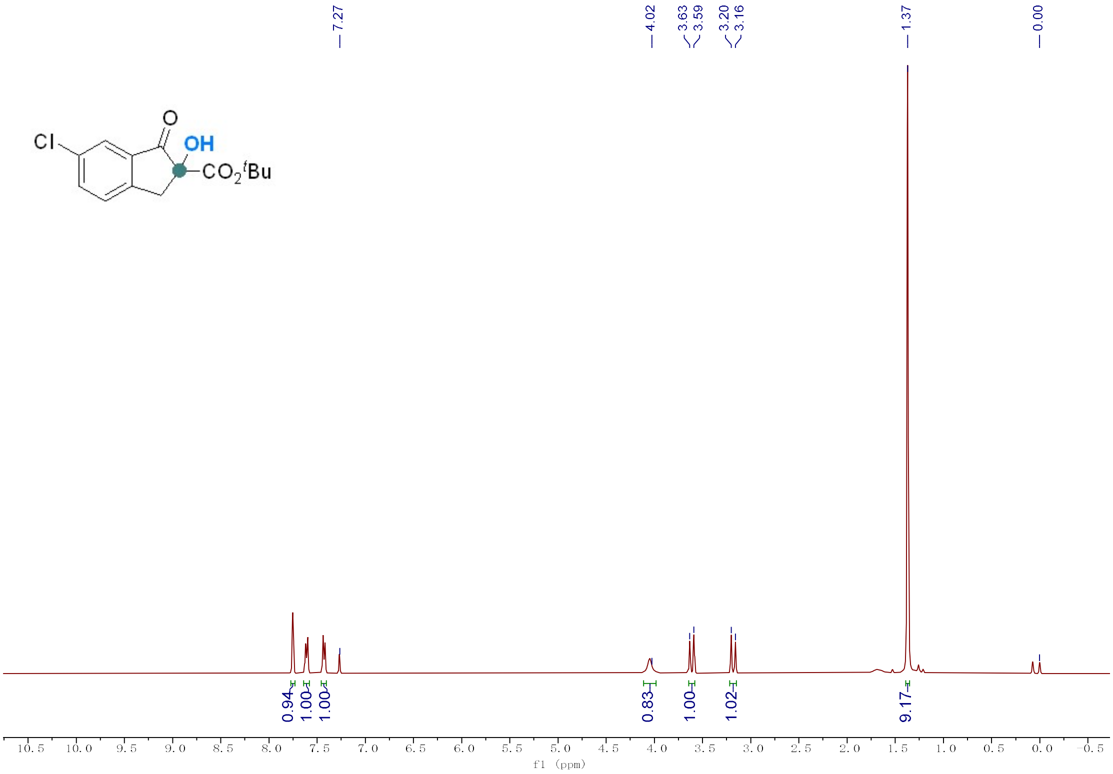
^{1}H NMR (400 MHz) Spectrum of 69 in CDCl$_3$



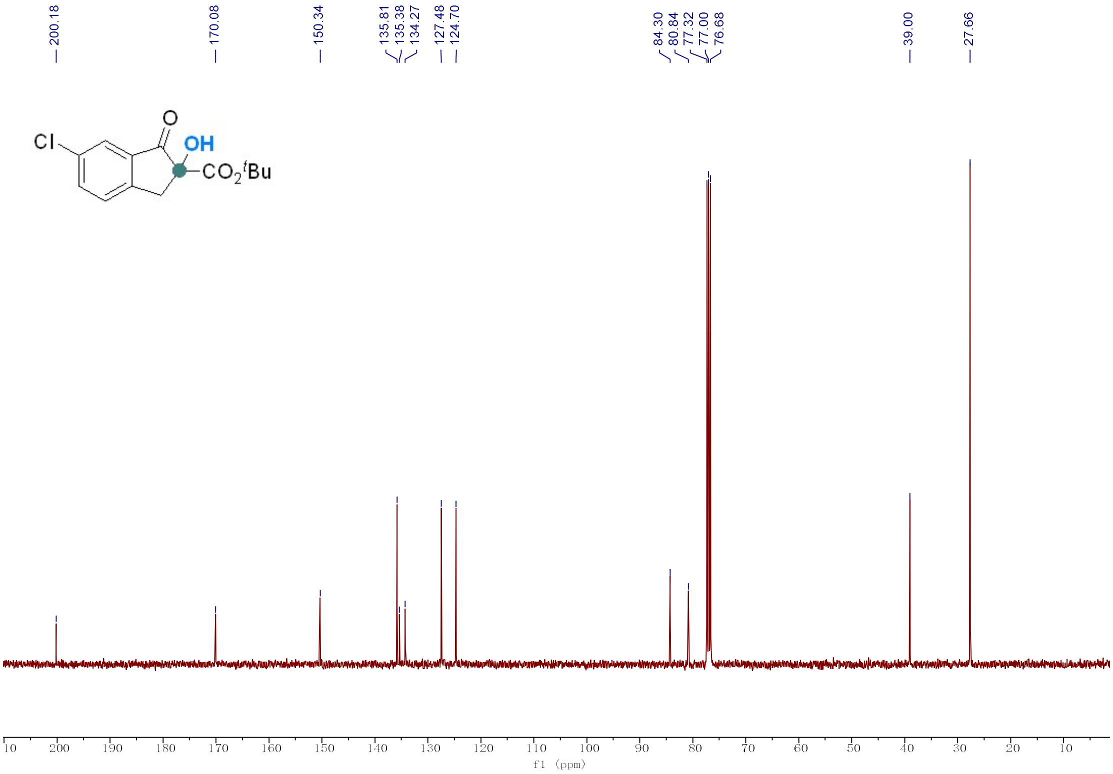
^{13}C NMR (100 MHz) Spectrum of 69 in CDCl$_3$



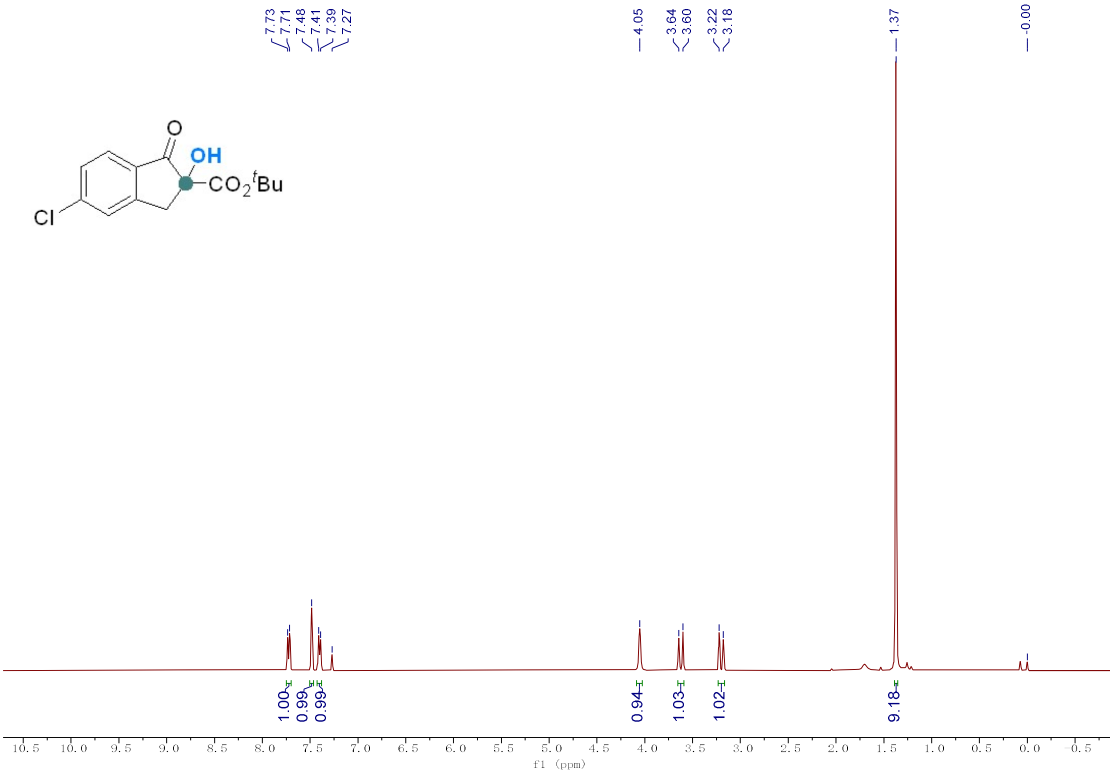
^{1}H NMR (400 MHz) Spectrum of 70 in CDCl$_3$



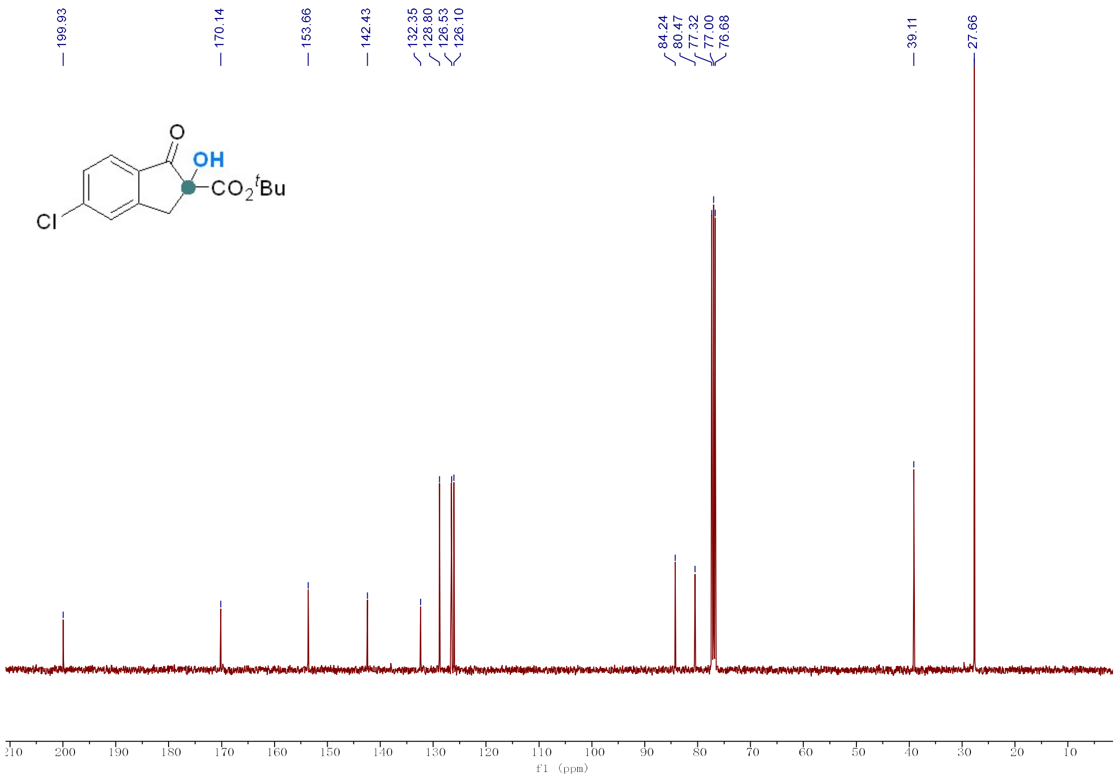
^{13}C NMR (100 MHz) Spectrum of 70 in $CDCl_3$



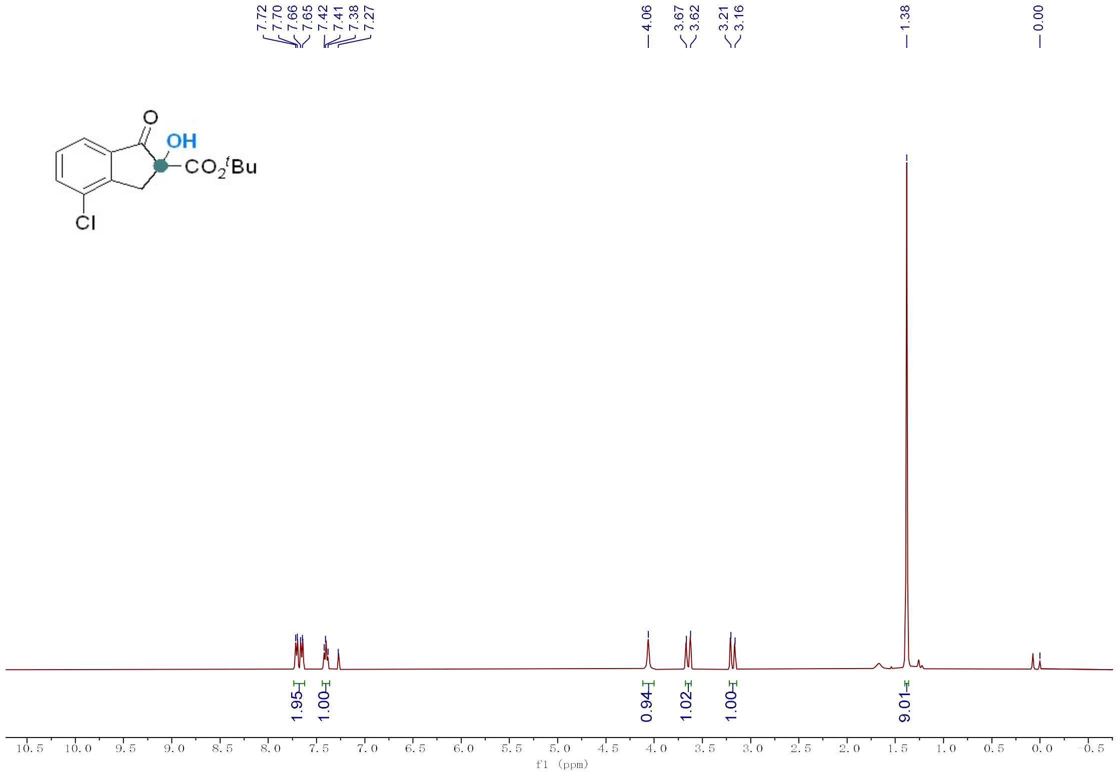
^{1}H NMR (400 MHz) Spectrum of 71 in $CDCl_3$



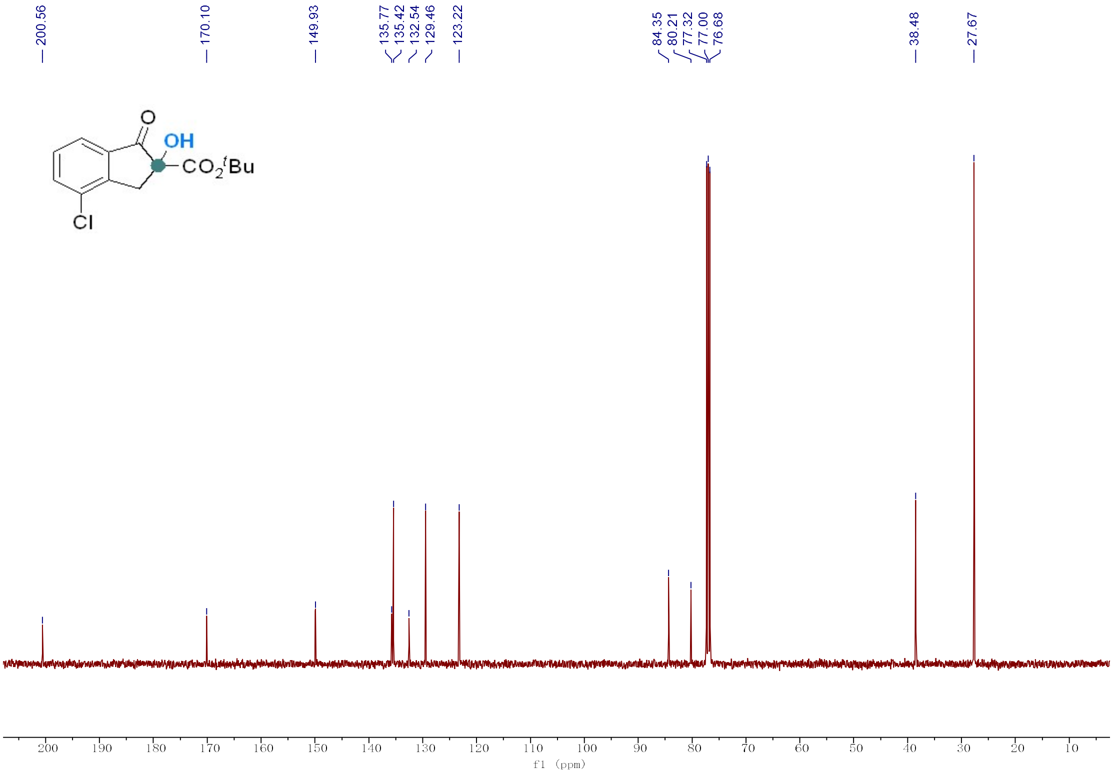
^{13}C NMR (100 MHz) Spectrum of 71 in $CDCl_3$



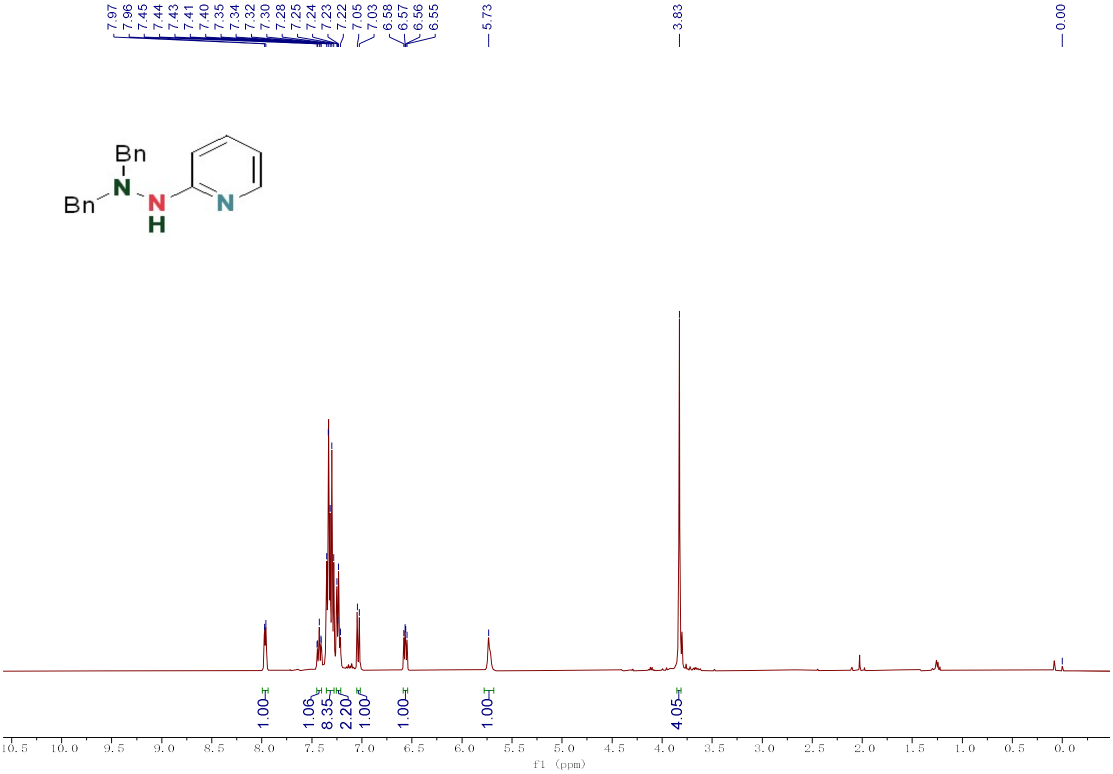
^{1}H NMR (400 MHz) Spectrum of 72 in $CDCl_3$



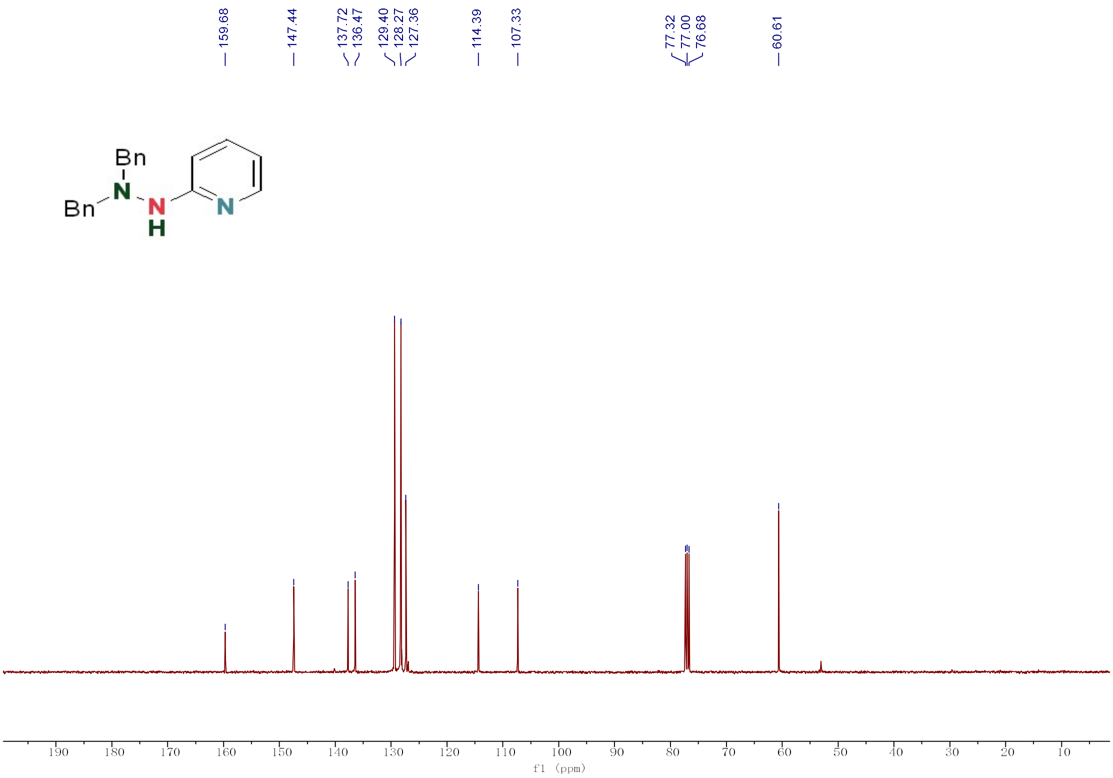
^{13}C NMR (100 MHz) Spectrum of 72 in $CDCl_3$



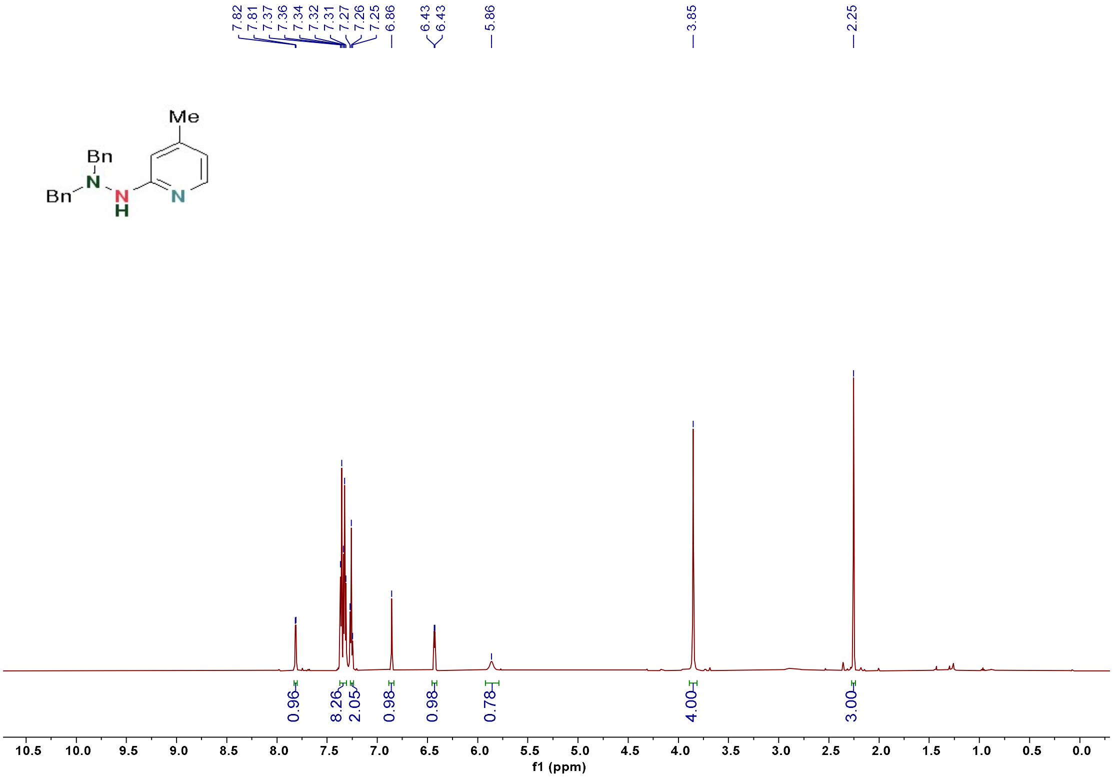
^{1}H NMR (400 MHz) Spectrum of 73 in $CDCl_3$



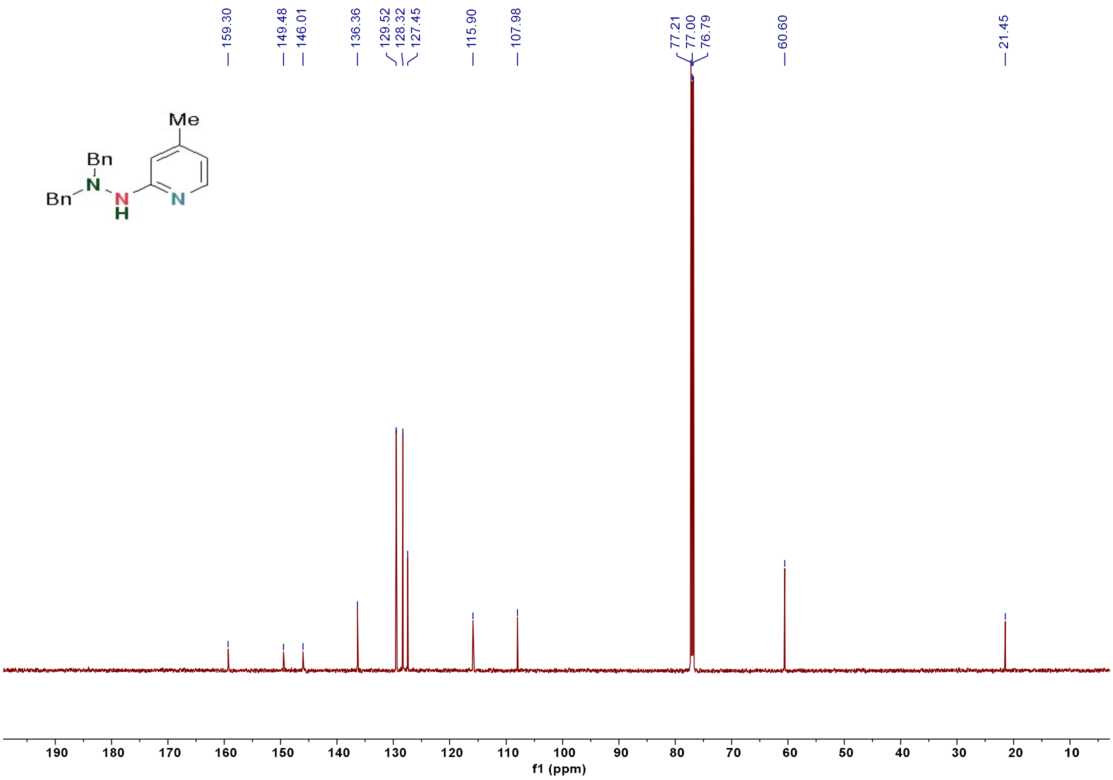
^{13}C NMR (100 MHz) Spectrum of 73 in CDCl$_3$



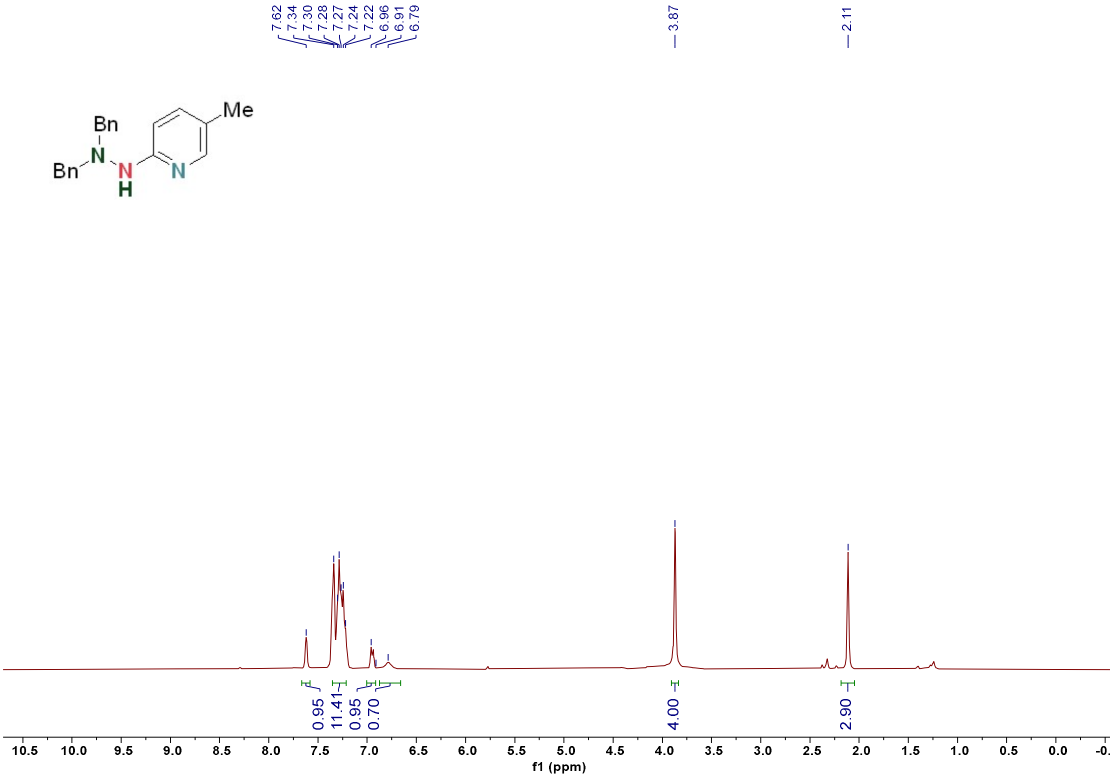
^{1}H NMR (600 MHz) Spectrum of 74 in CDCl$_3$



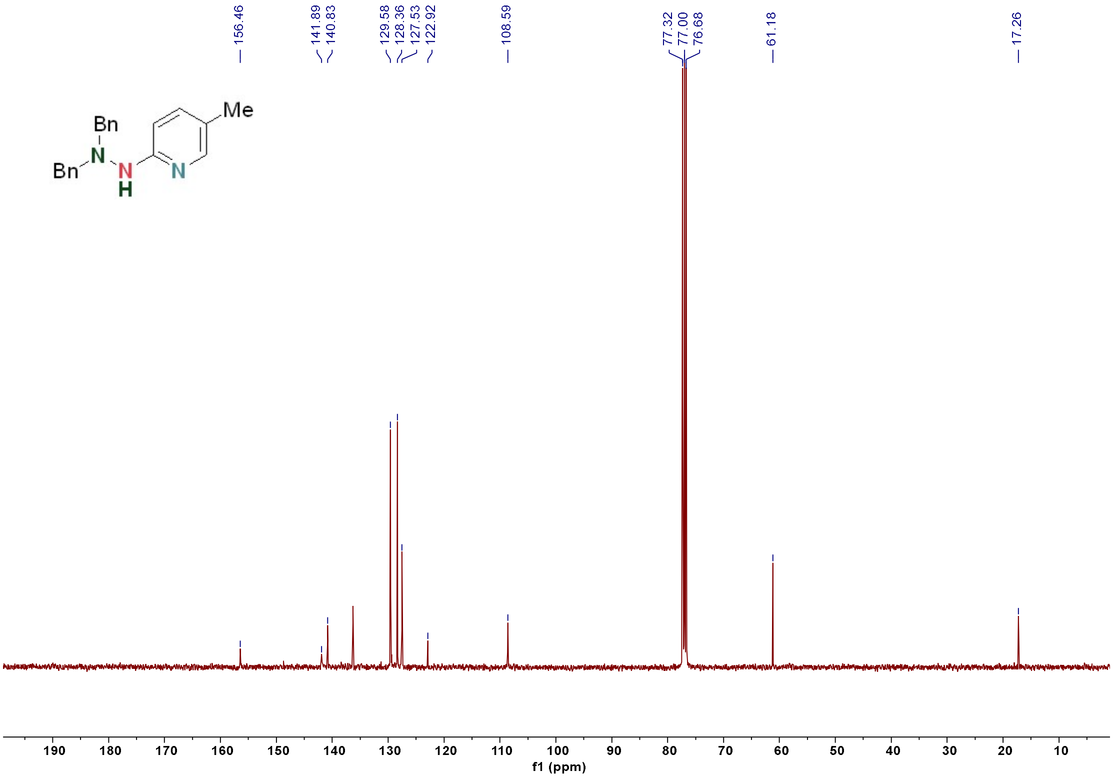
^{13}C NMR (150 MHz) Spectrum of 74 in CDCl$_3$



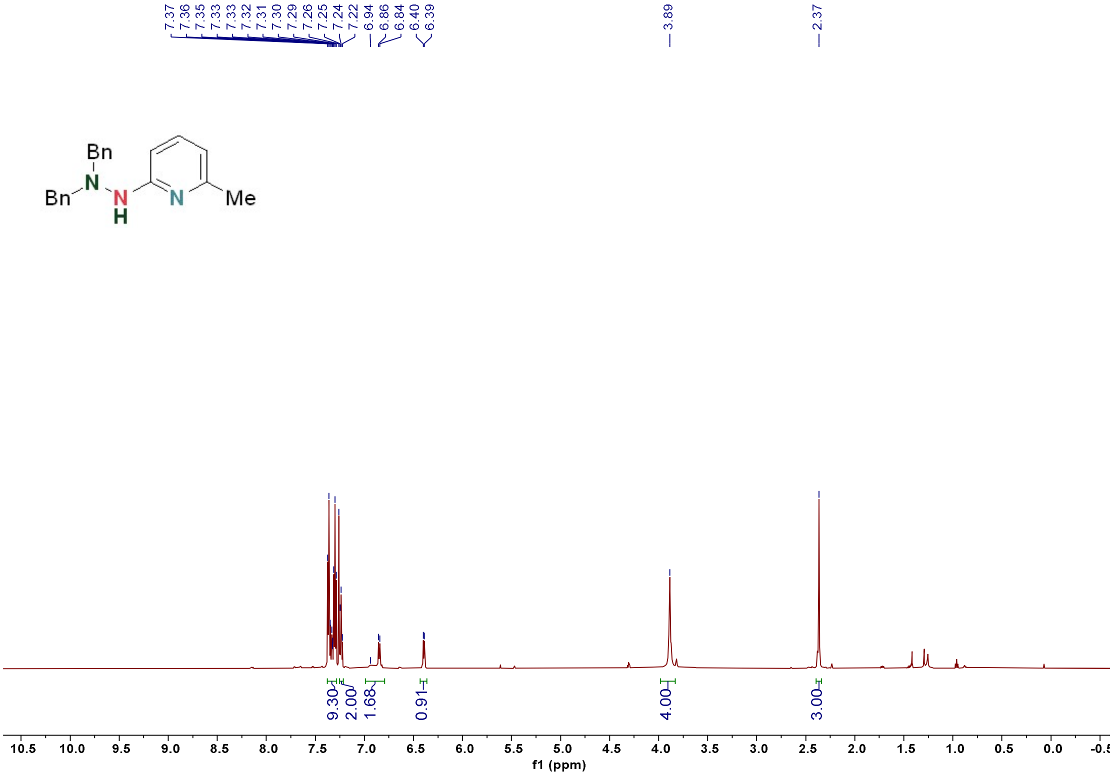
^{1}H NMR (400 MHz) Spectrum of 75 in CDCl$_3$



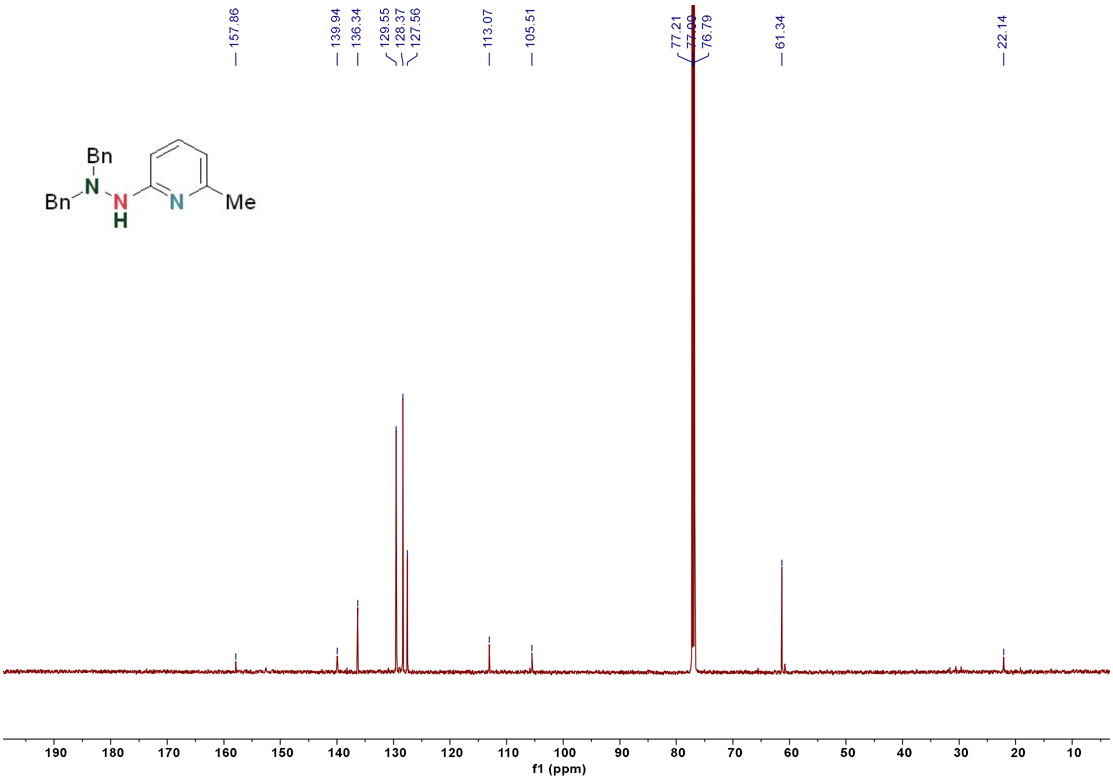
^{13}C NMR (100 MHz) Spectrum of 75 in CDCl$_3$



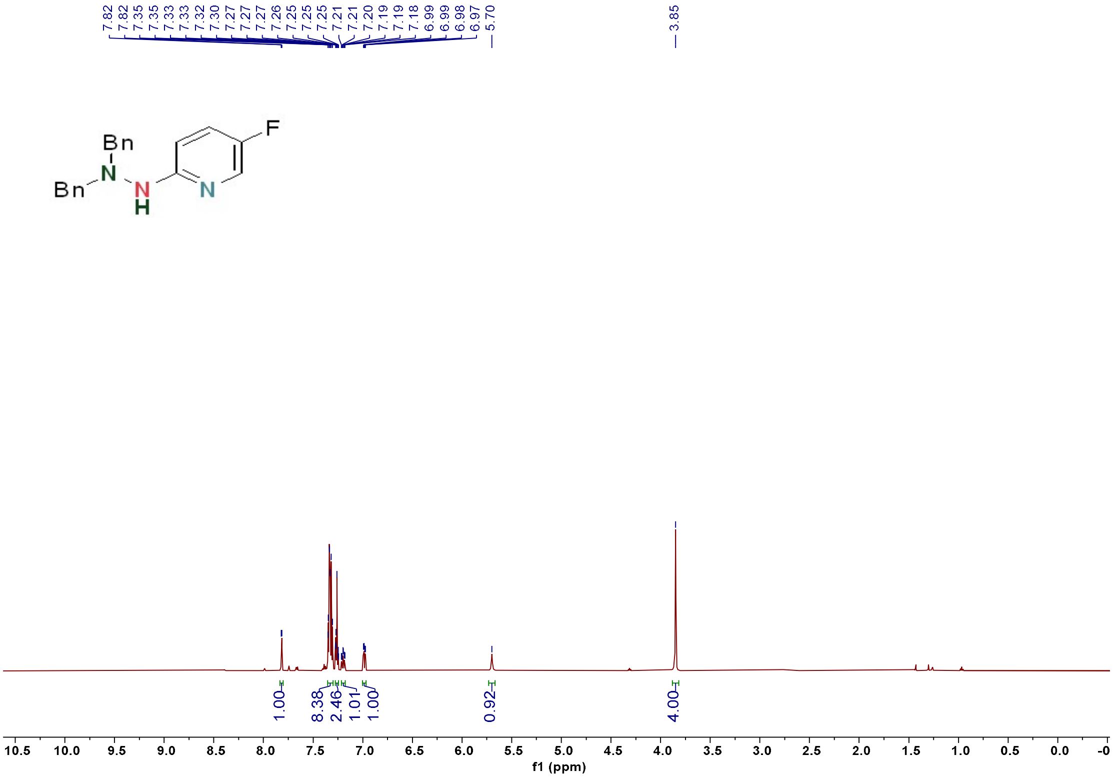
^{1}H NMR (600 MHz) Spectrum of 76 in CDCl$_3$



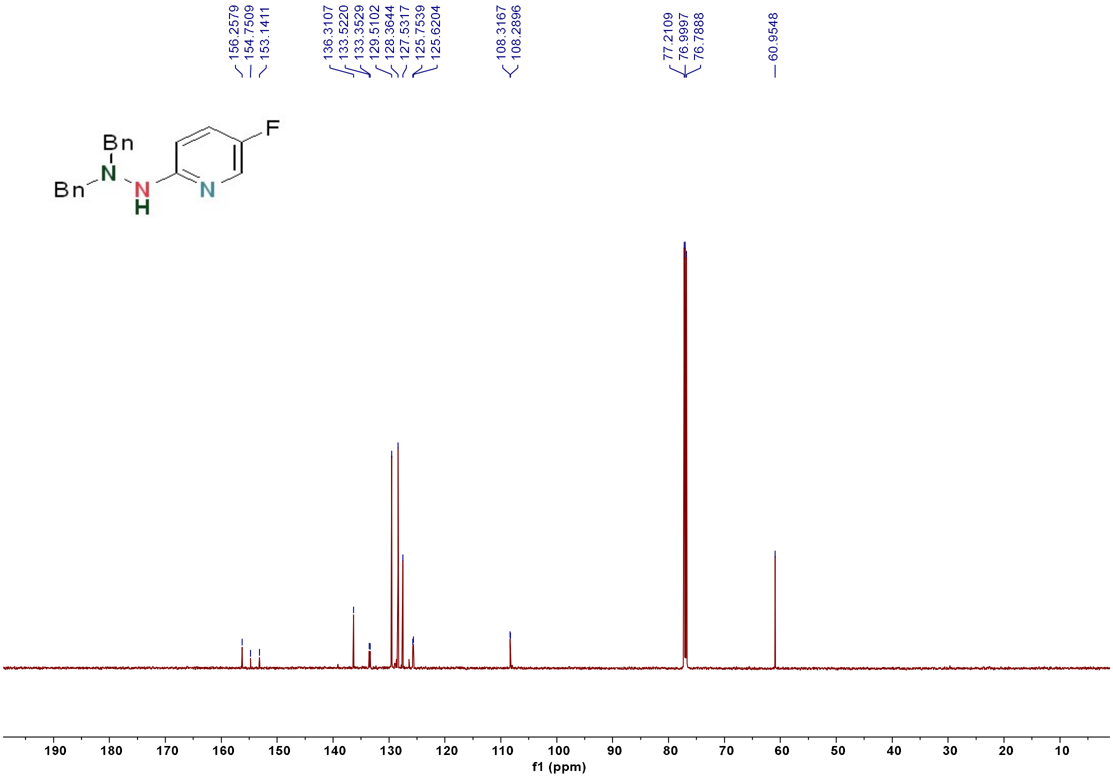
^{13}C NMR (150 MHz) Spectrum of 76 in CDCl$_3$



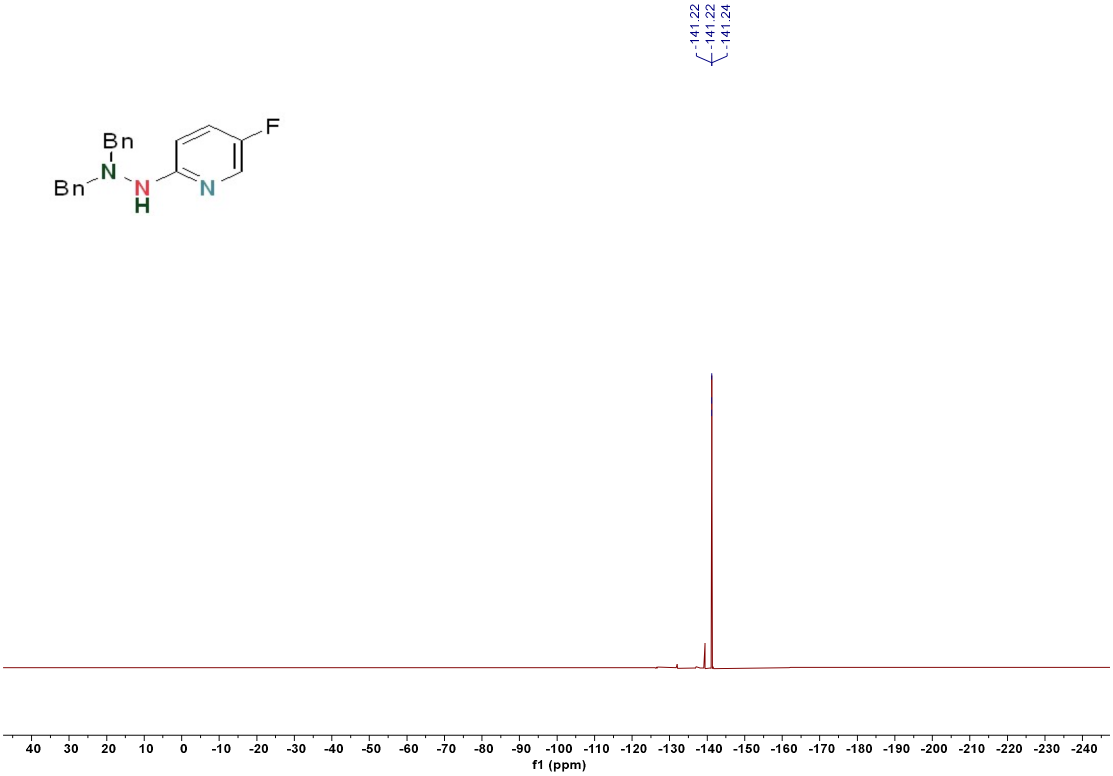
^{1}H NMR (600 MHz) Spectrum of 77 in CDCl$_3$



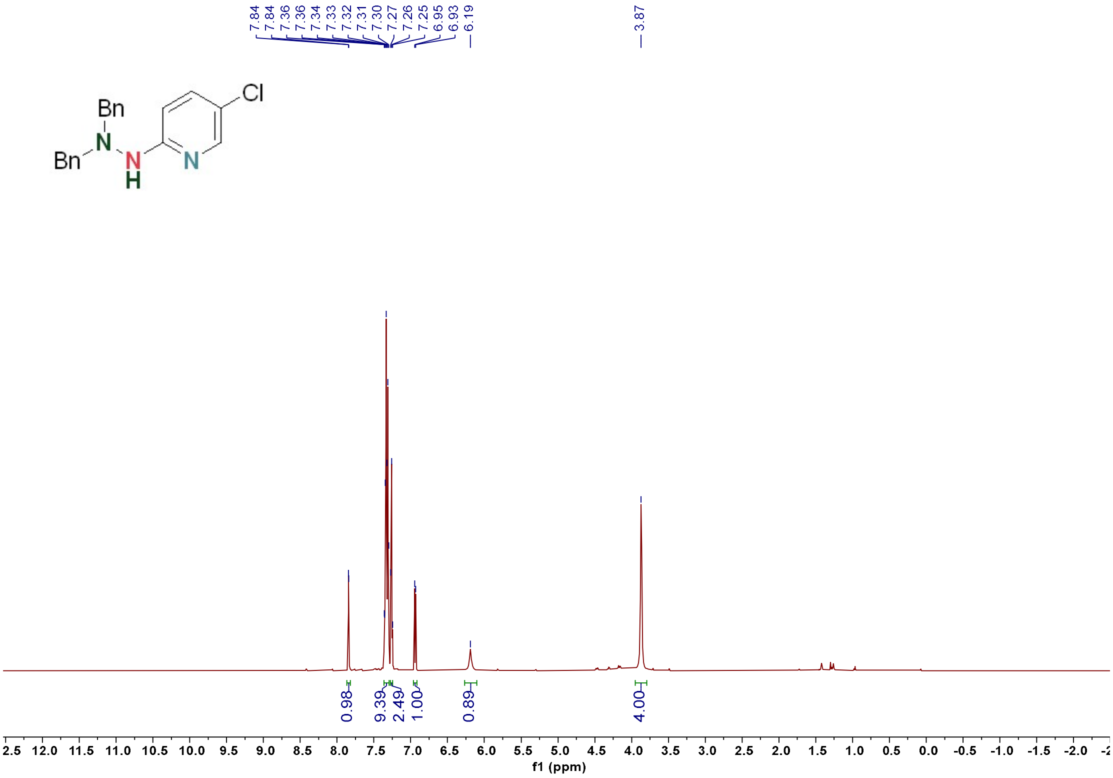
^{13}C NMR (150 MHz) Spectrum of 77 in $CDCl_3$



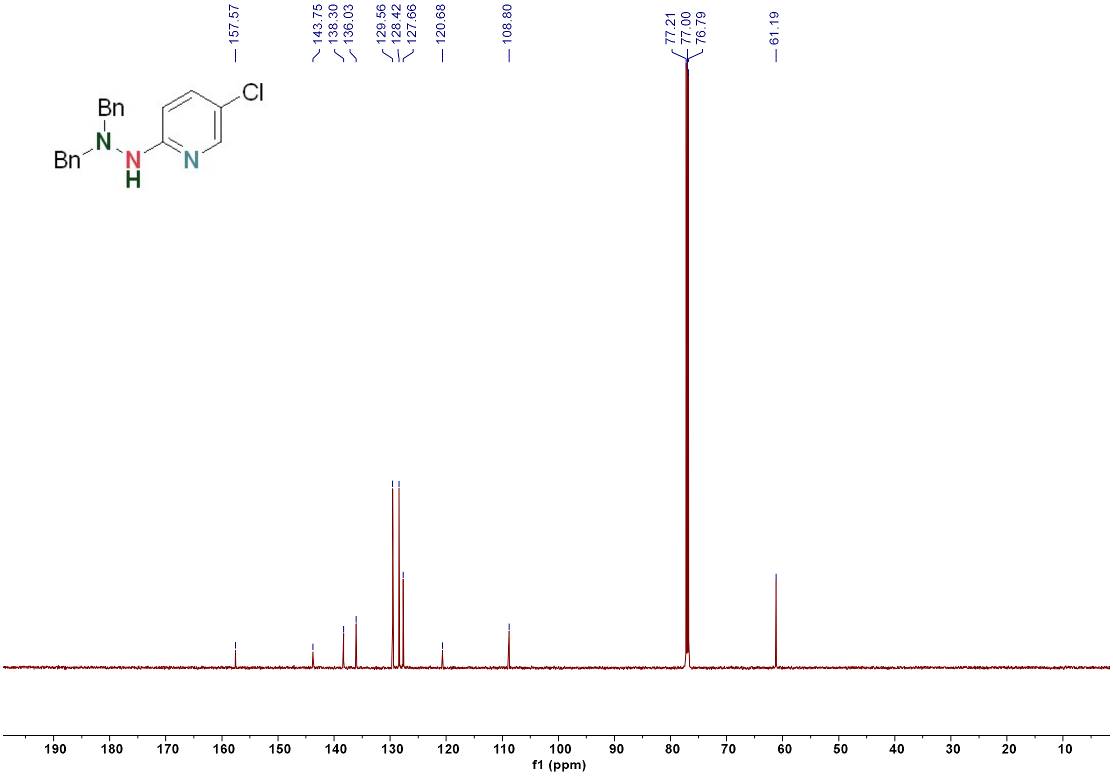
^{19}F NMR (565 MHz) Spectrum of 77 in $CDCl_3$



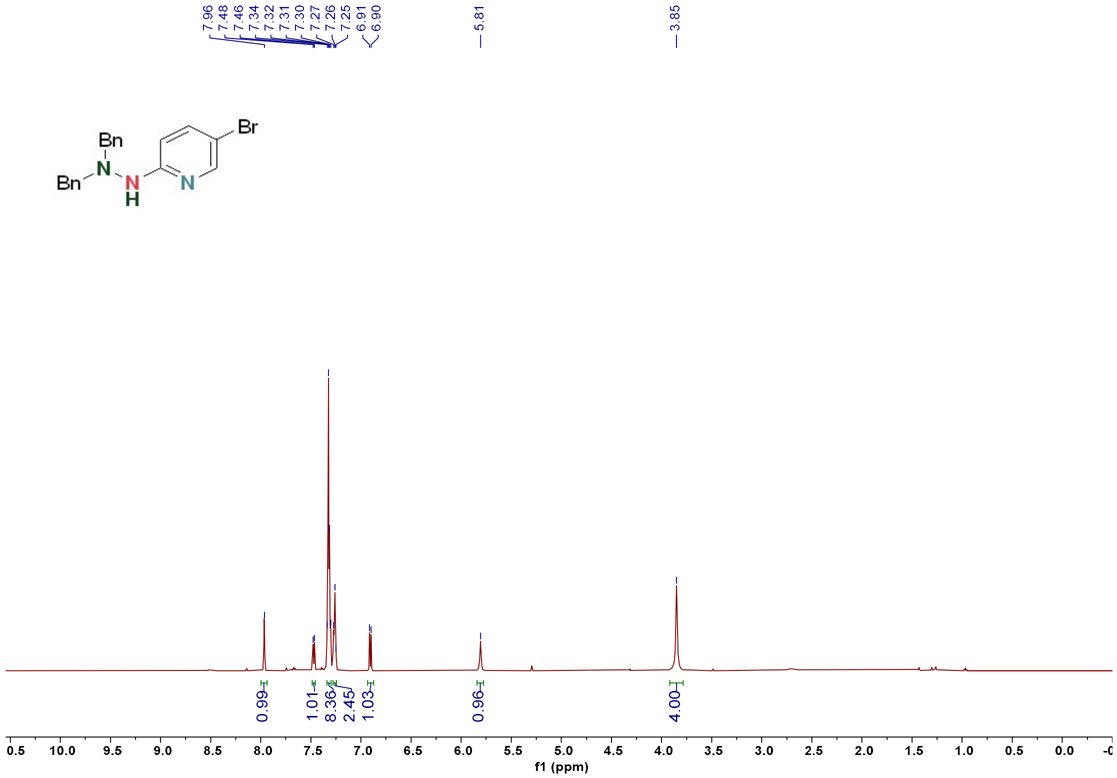
^{1}H NMR (600 MHz) Spectrum of 78 in $CDCl_3$



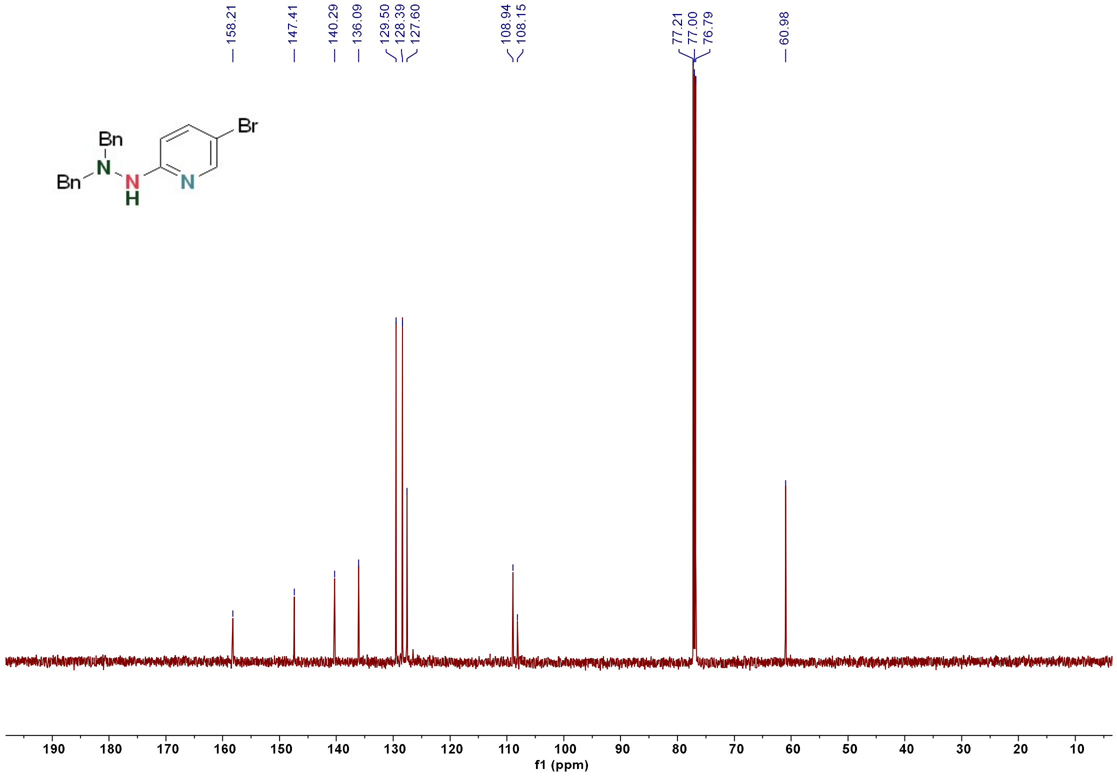
^{13}C NMR (150 MHz) Spectrum of 78 in $CDCl_3$



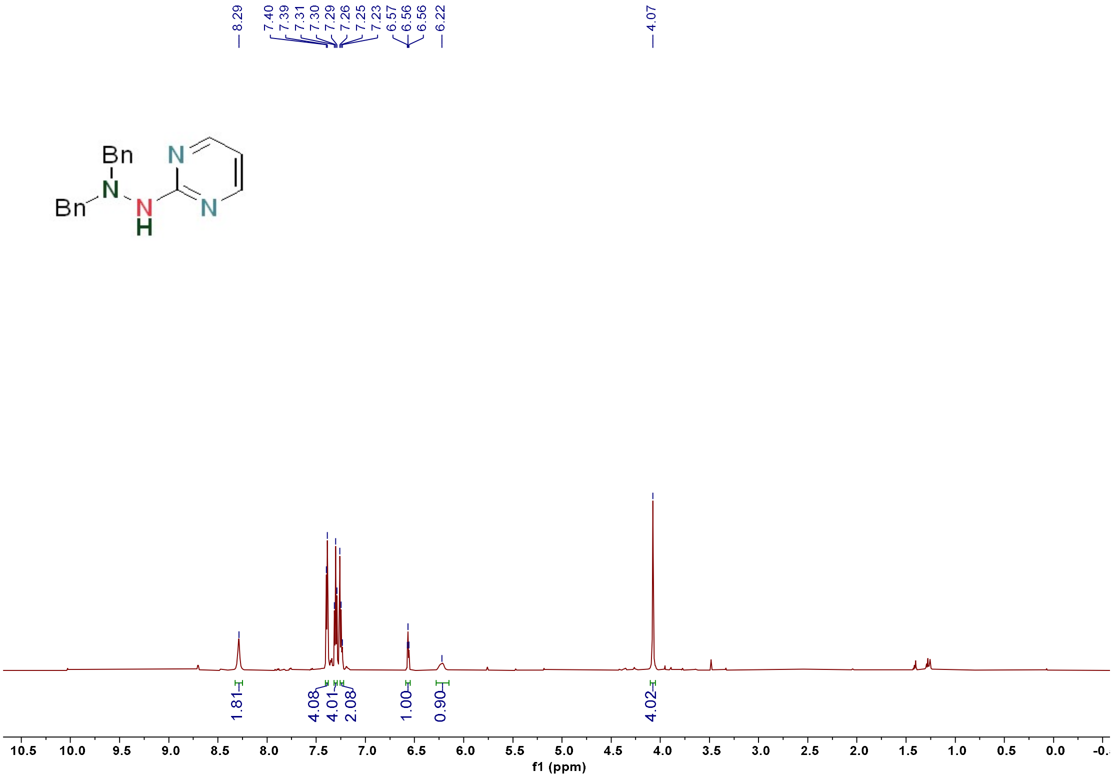
^{1}H NMR (600 MHz) Spectrum of 79 in $CDCl_3$



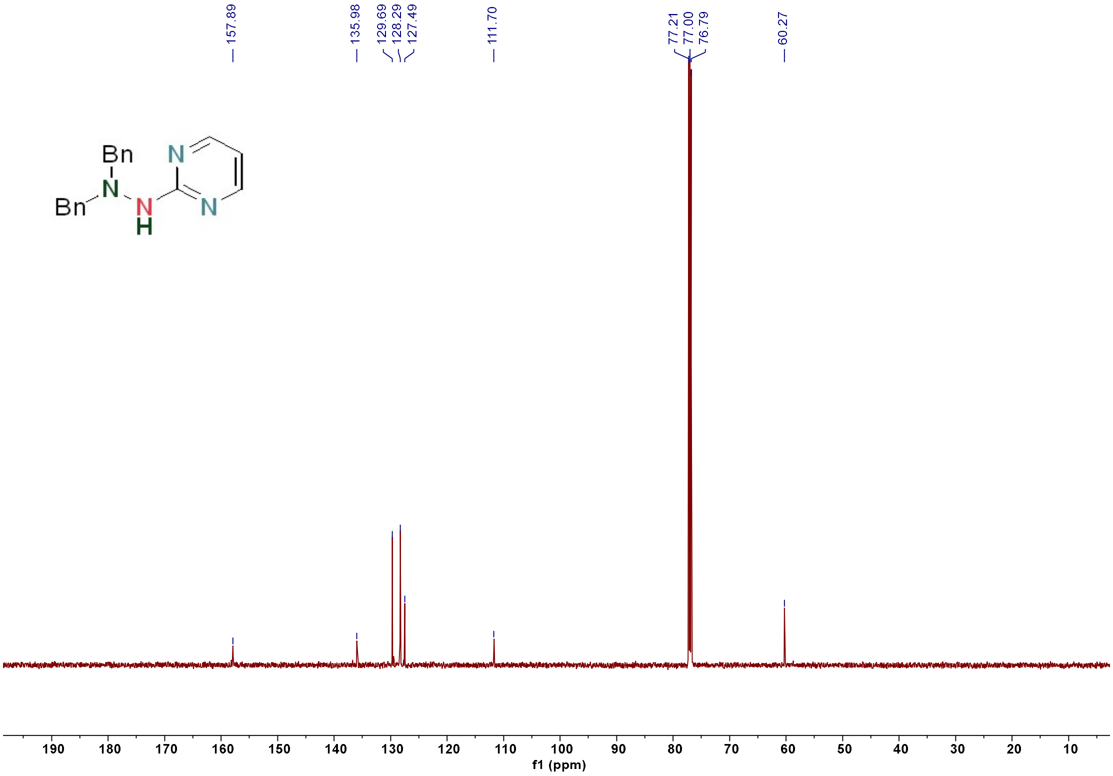
^{13}C NMR (150 MHz) Spectrum of 79 in $CDCl_3$



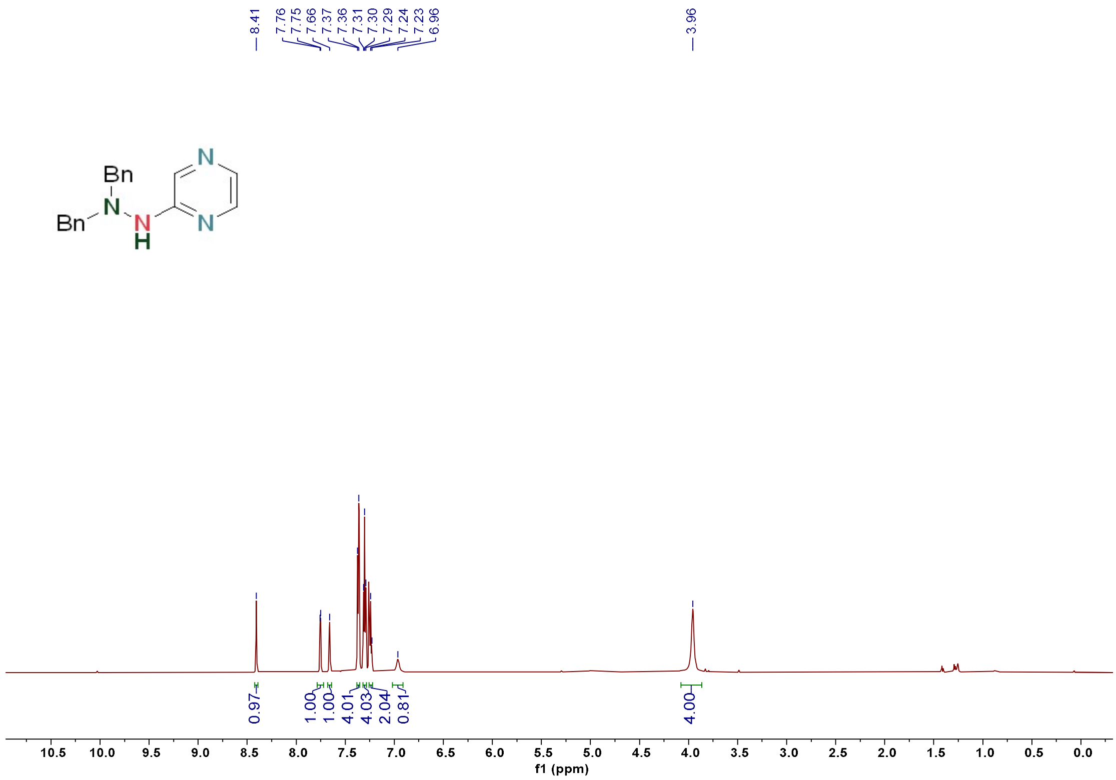
^{1}H NMR (600 MHz) Spectrum of 80 in CDCl$_3$



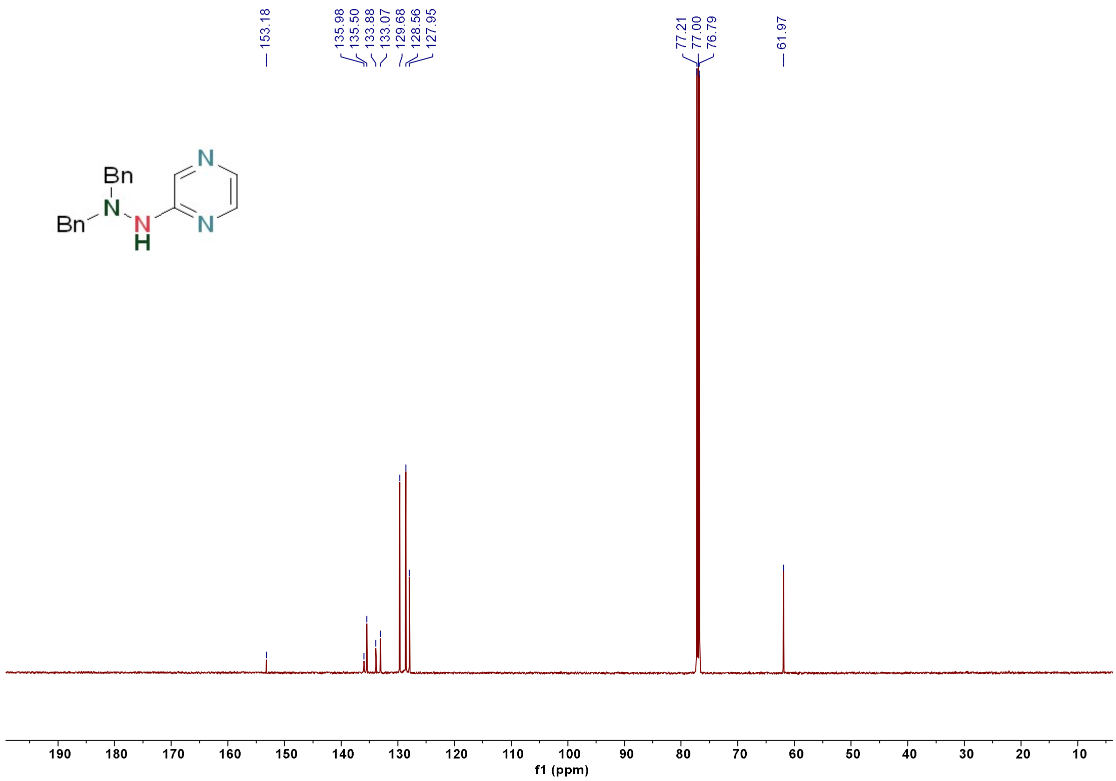
^{13}C NMR (150 MHz) Spectrum of 80 in CDCl$_3$



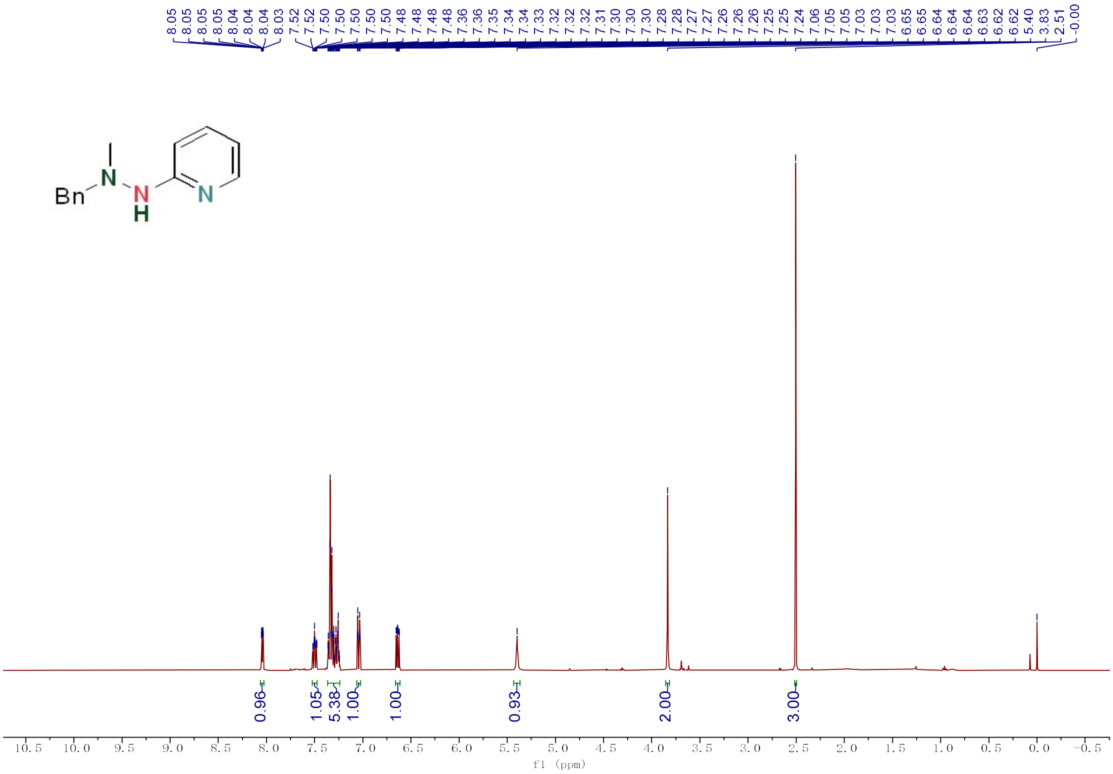
^{1}H NMR (600 MHz) Spectrum of 81 in $CDCl_3$



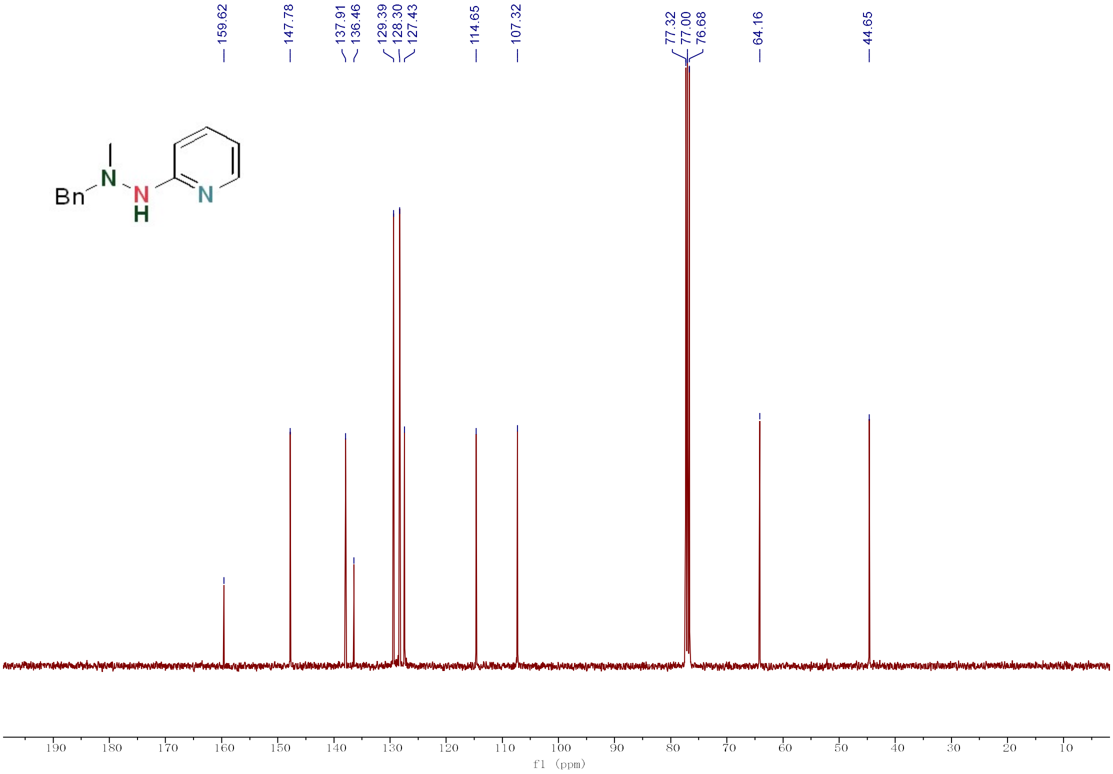
^{13}C NMR (150 MHz) Spectrum of 81 in $CDCl_3$



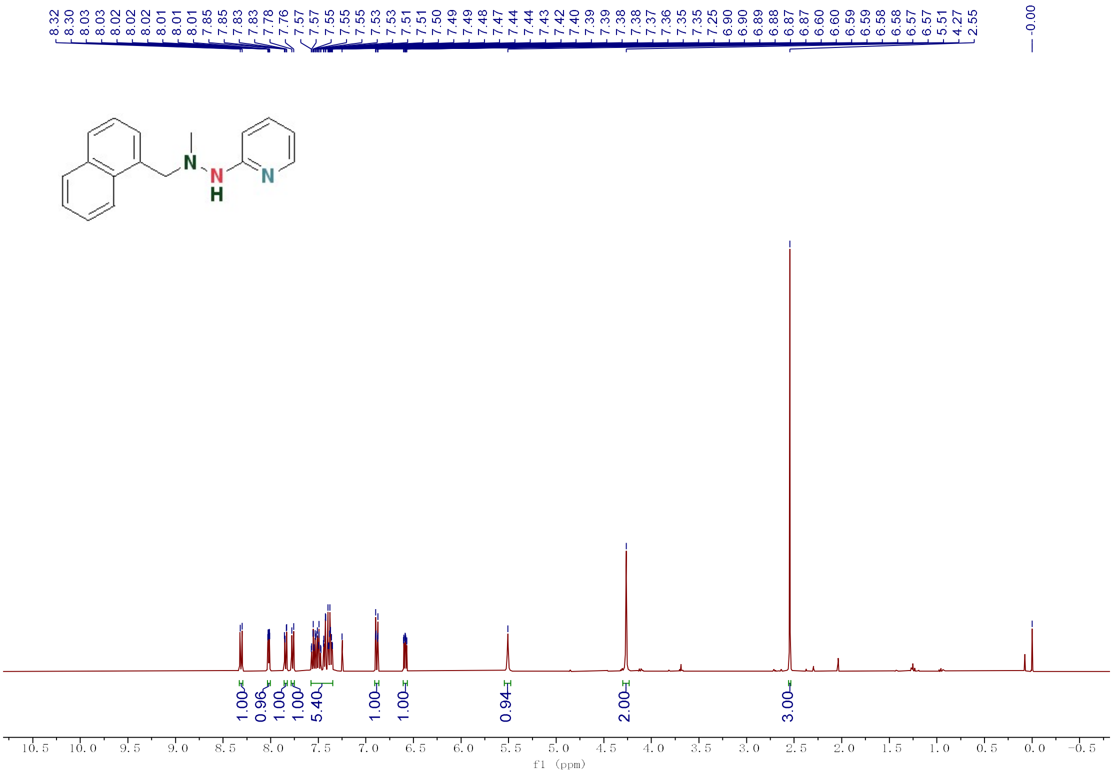
^{1}H NMR (400 MHz) Spectrum of 82 in CDCl$_3$



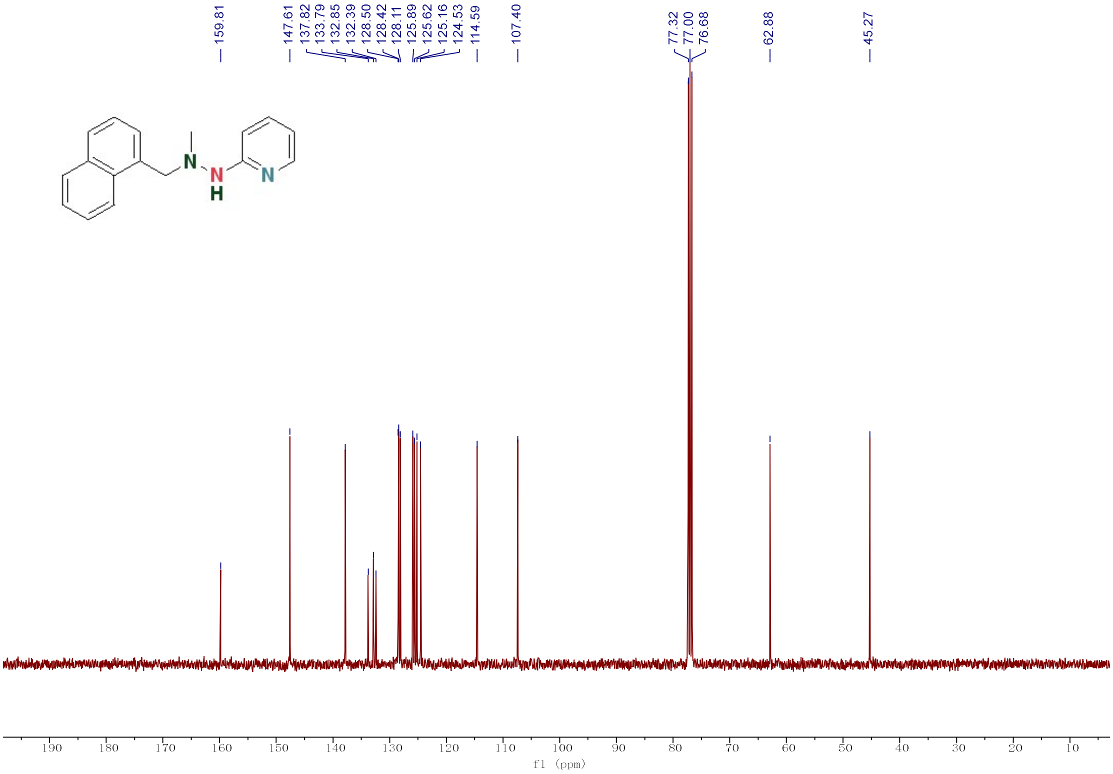
^{13}C NMR (100 MHz) Spectrum of 82 in CDCl$_3$



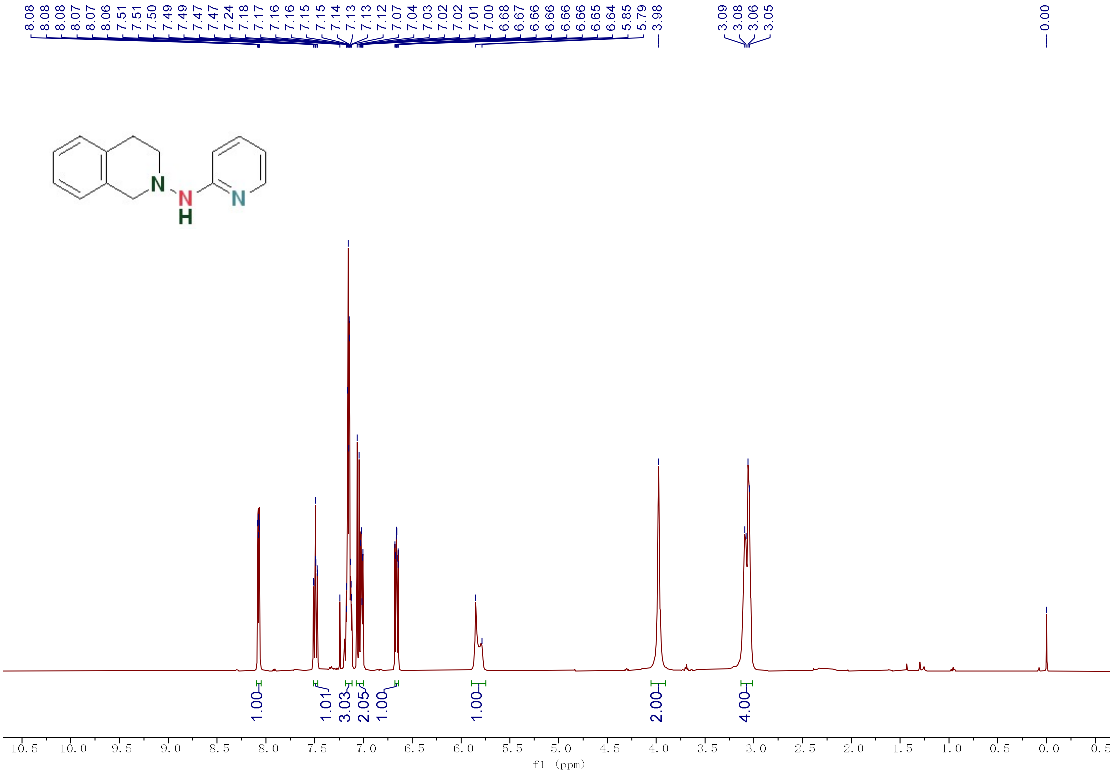
^{1}H NMR (400 MHz) Spectrum of 83 in CDCl$_3$



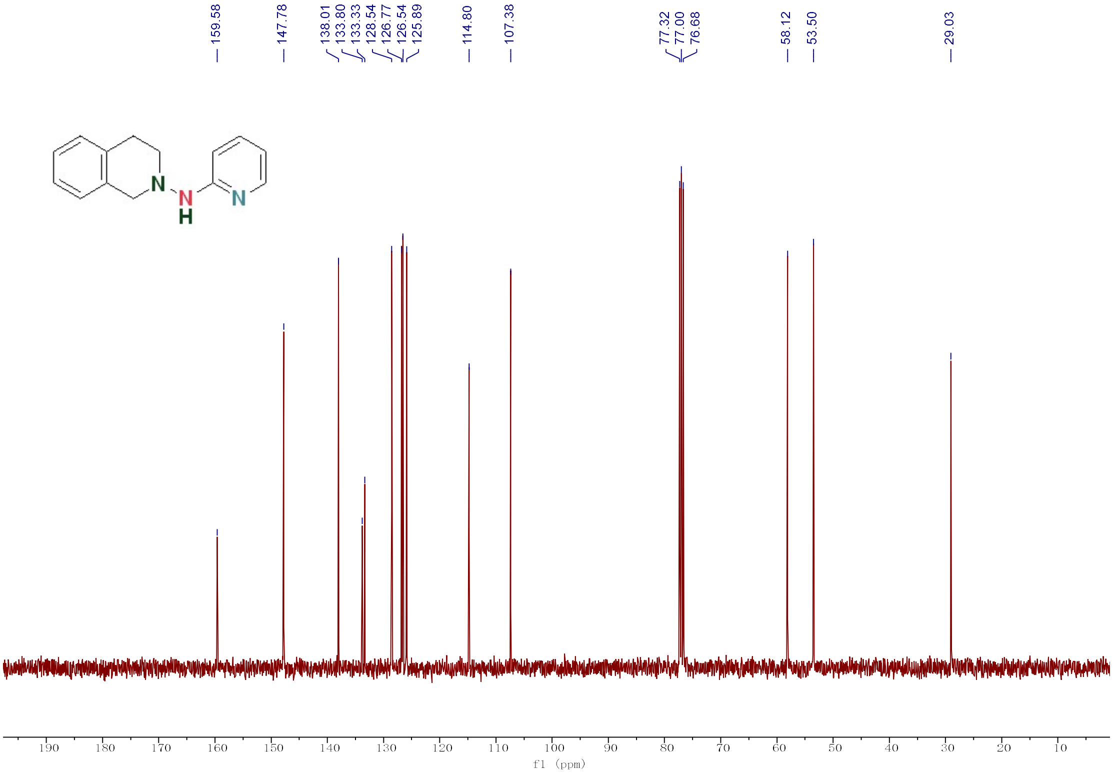
^{13}C NMR (100 MHz) Spectrum of 83 in CDCl$_3$



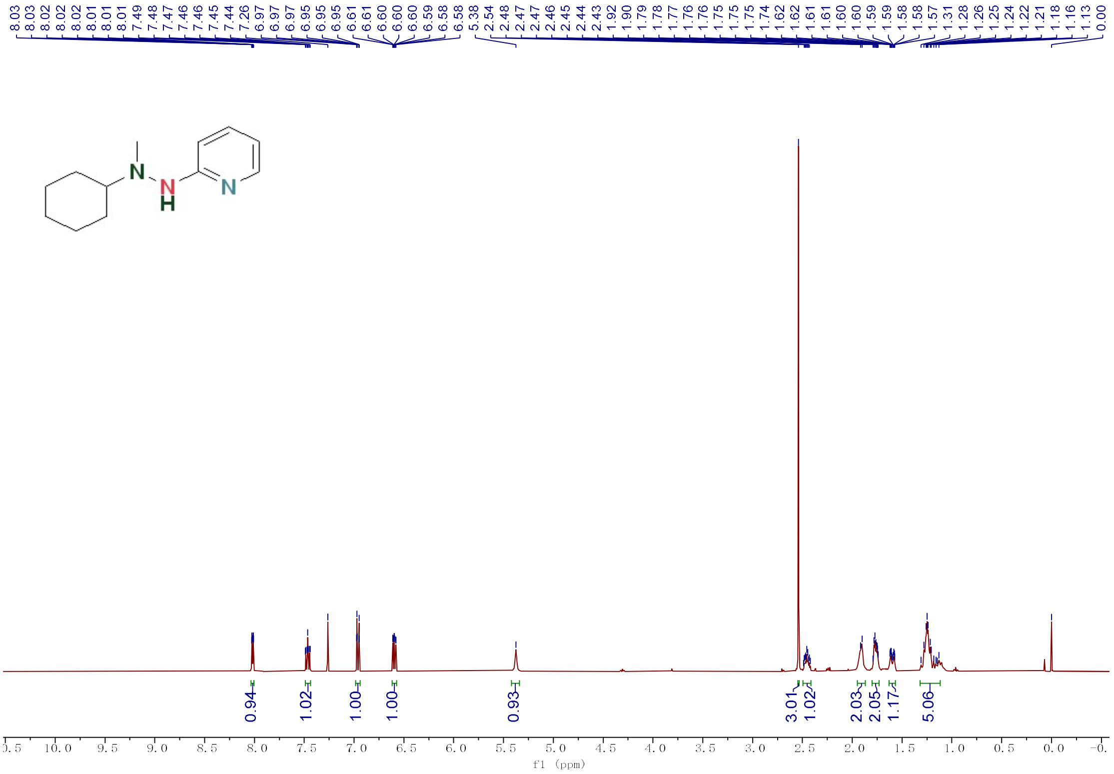
^{1}H NMR (400 MHz) Spectrum of 84 in $CDCl_3$



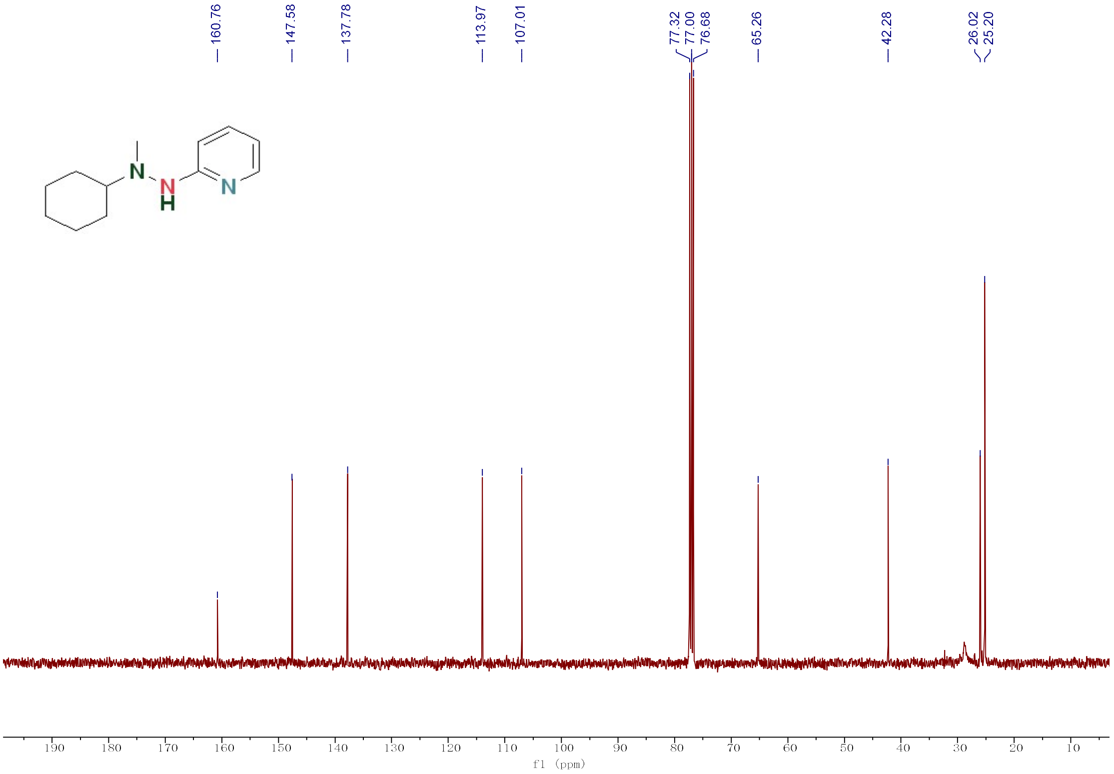
^{13}C NMR (100 MHz) Spectrum of 84 in $CDCl_3$



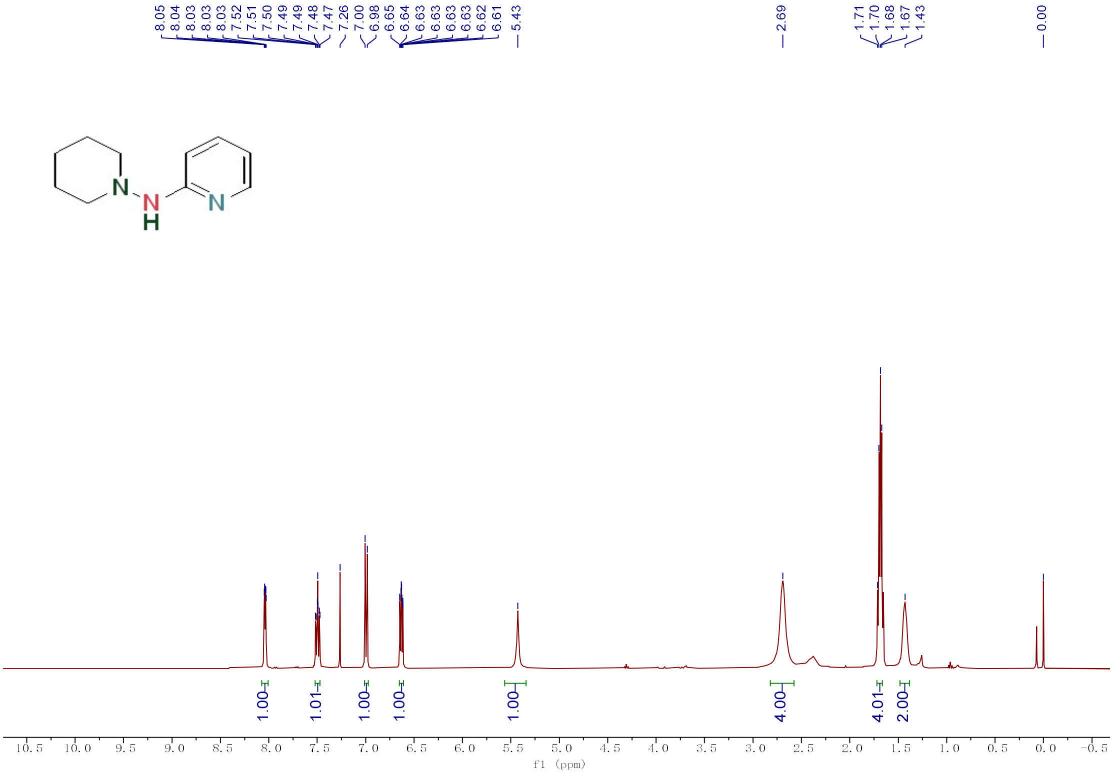
^{1}H NMR (400 MHz) Spectrum of 85 in $CDCl_3$



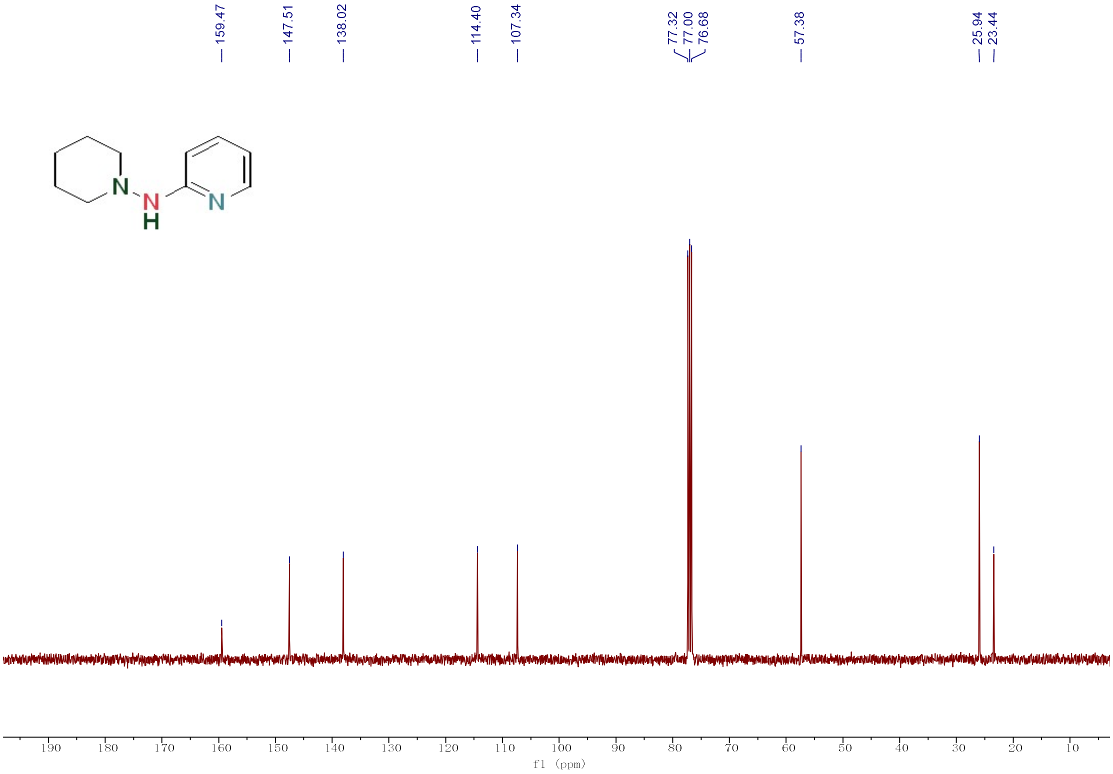
^{13}C NMR (100 MHz) Spectrum of 85 in $CDCl_3$



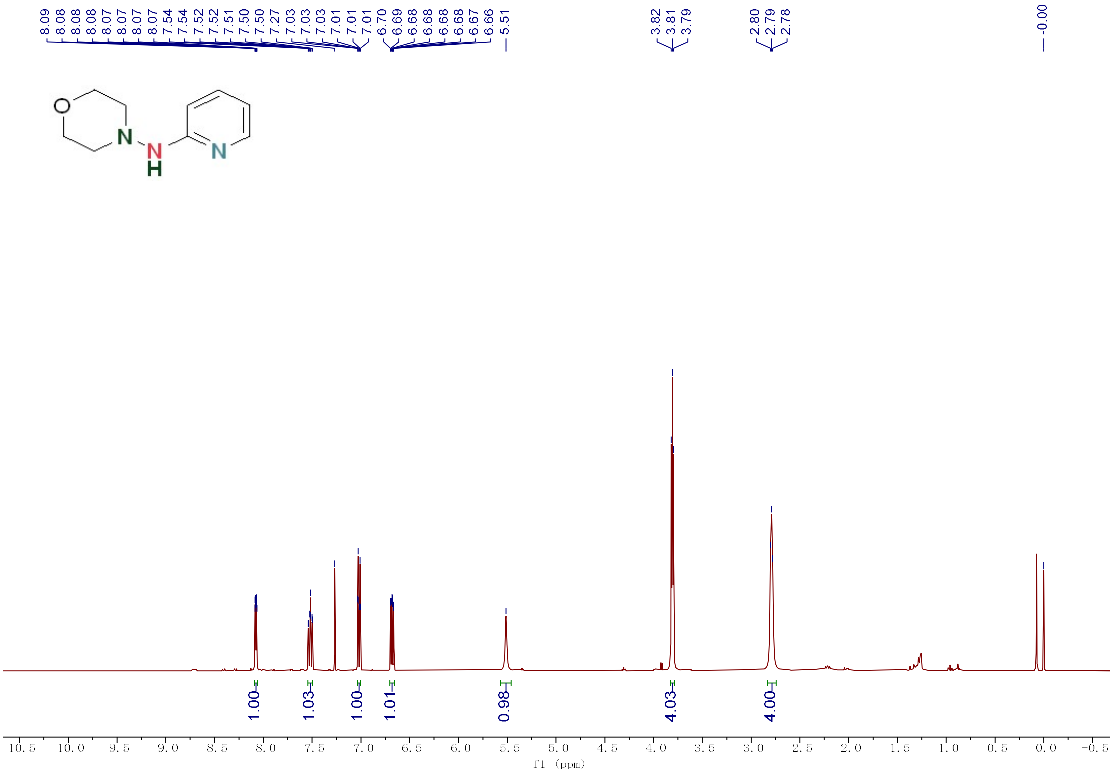
^{1}H NMR (400 MHz) Spectrum of 86 in CDCl$_3$



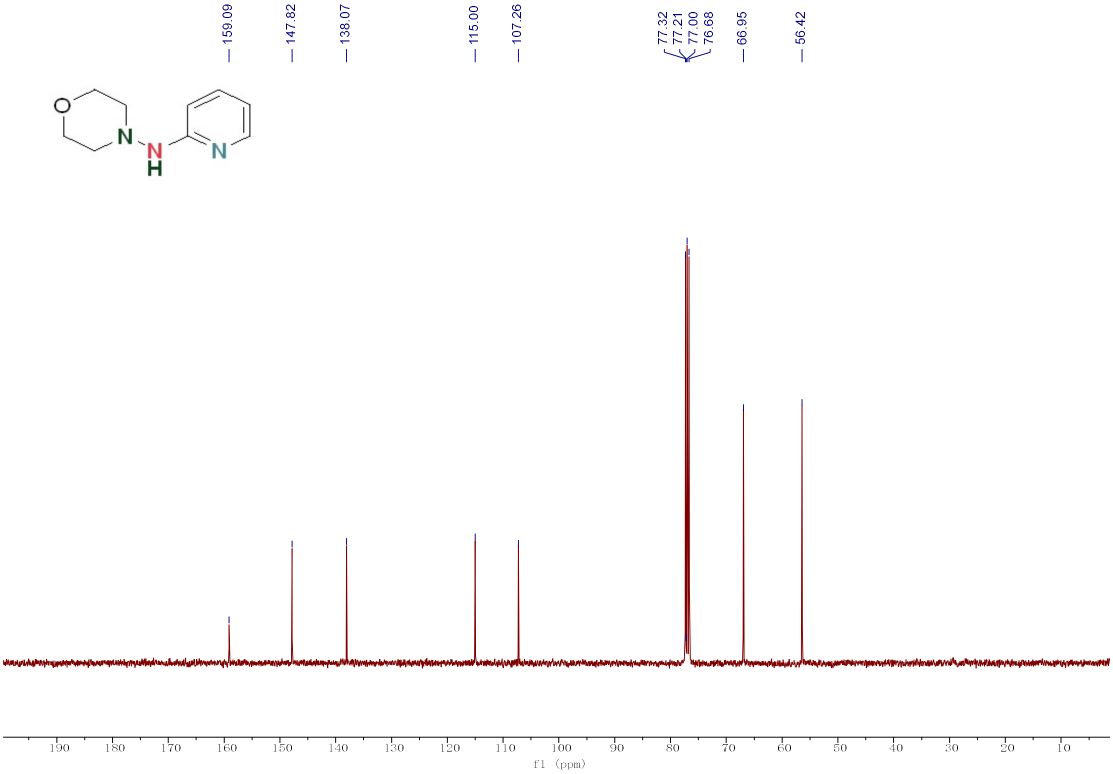
^{13}C NMR (100 MHz) Spectrum of 86 in CDCl$_3$



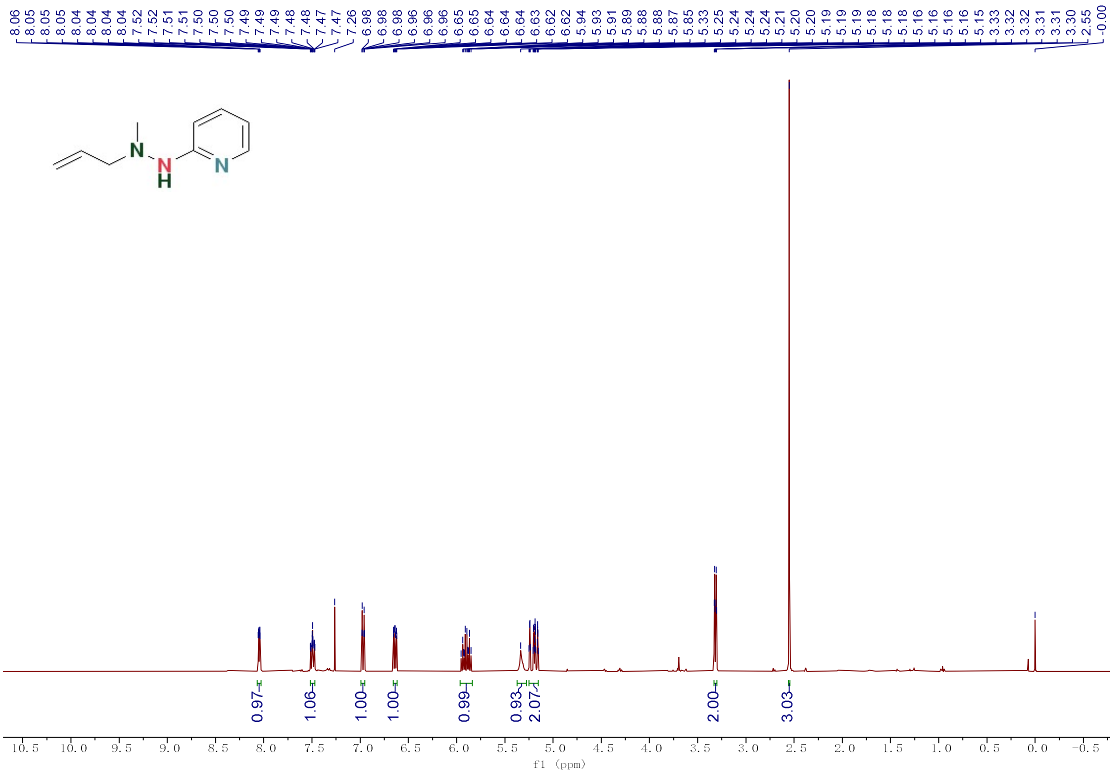
^{1}H NMR (400 MHz) Spectrum of 87 in $CDCl_3$



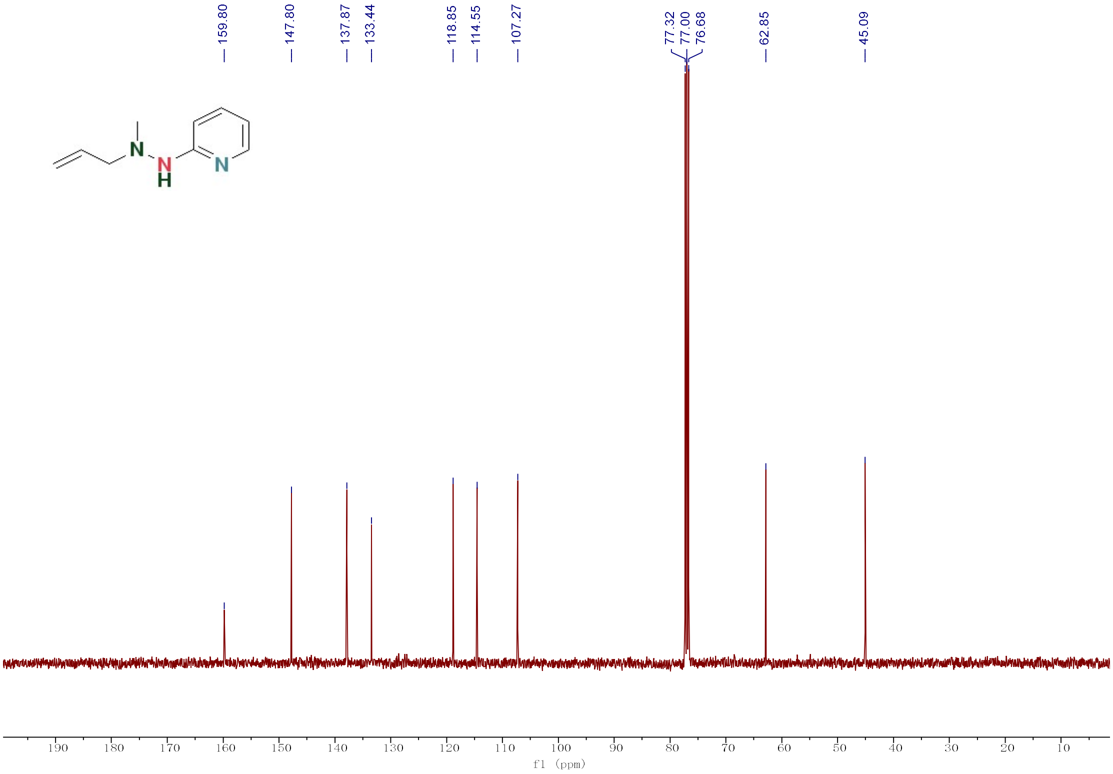
^{13}C NMR (100 MHz) Spectrum of 87 in $CDCl_3$



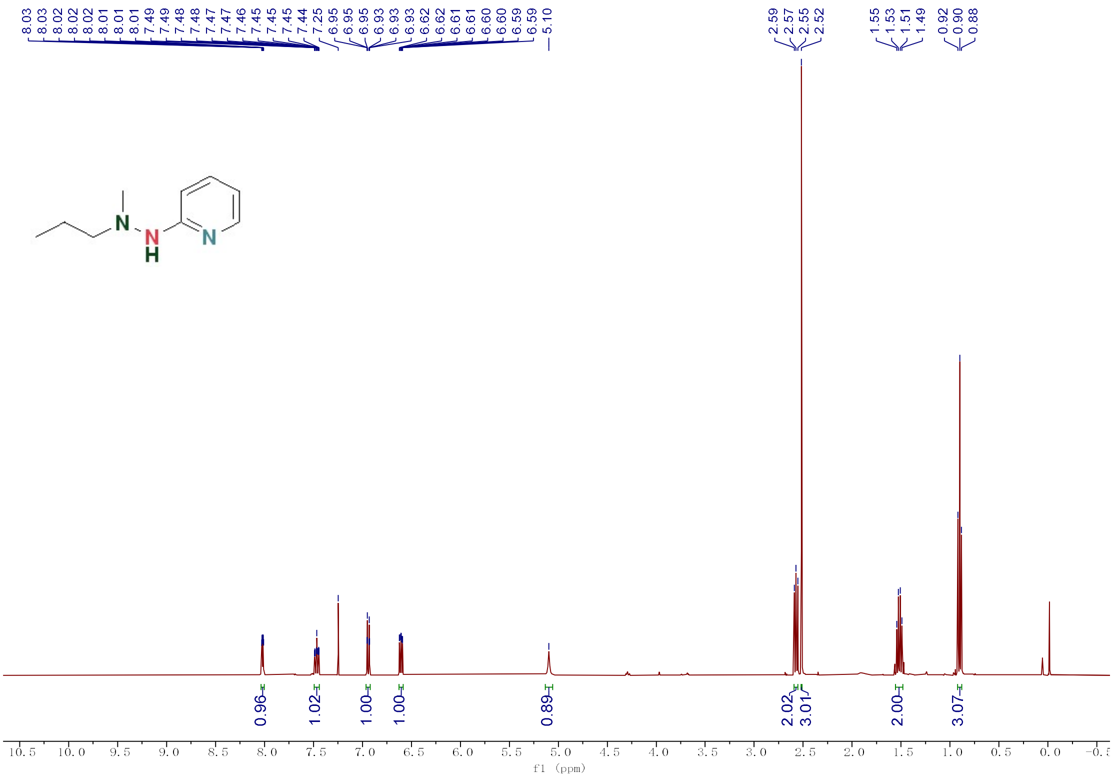
^{1}H NMR (400 MHz) Spectrum of 88 in $CDCl_3$



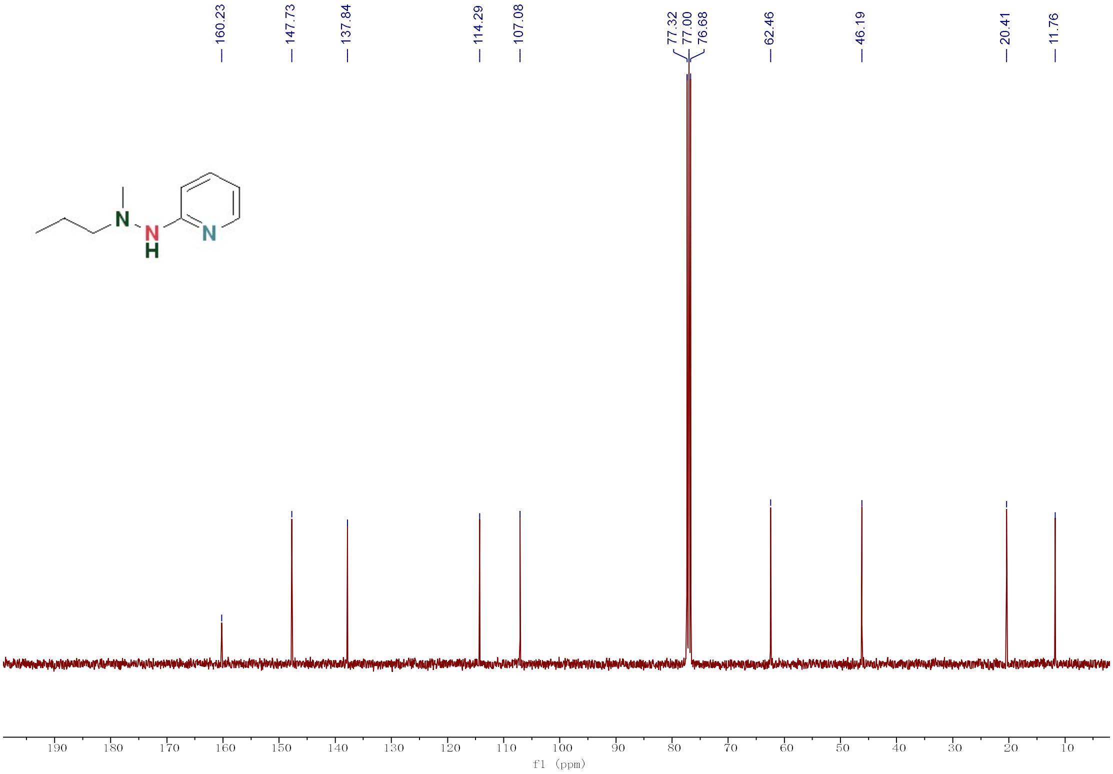
^{13}C NMR (100 MHz) Spectrum of 88 in $CDCl_3$



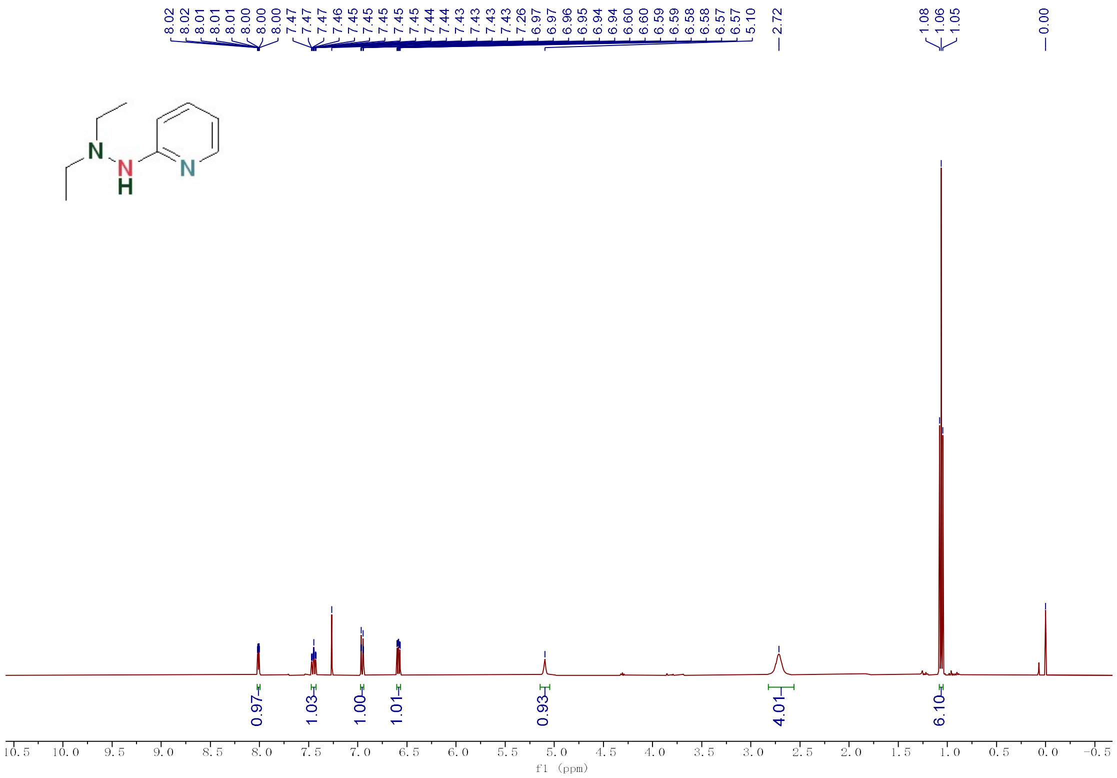
^{1}H NMR (400 MHz) Spectrum of 89 in $CDCl_3$



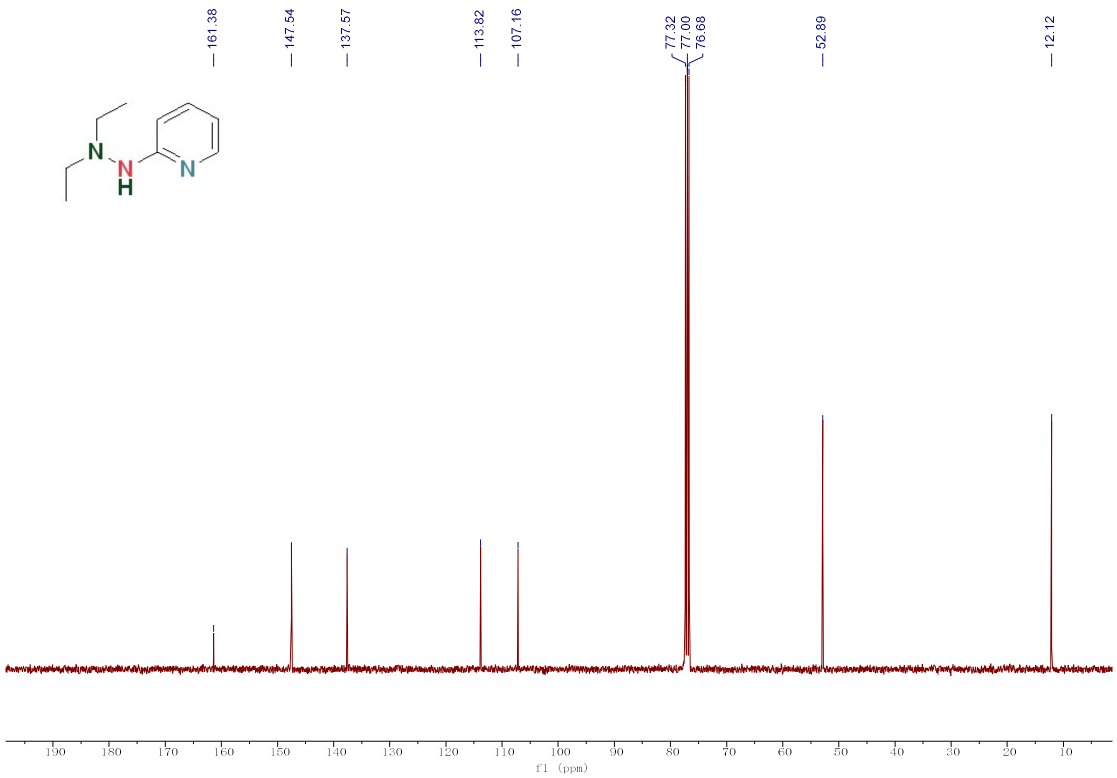
^{13}C NMR (100 MHz) Spectrum of 89 in $CDCl_3$



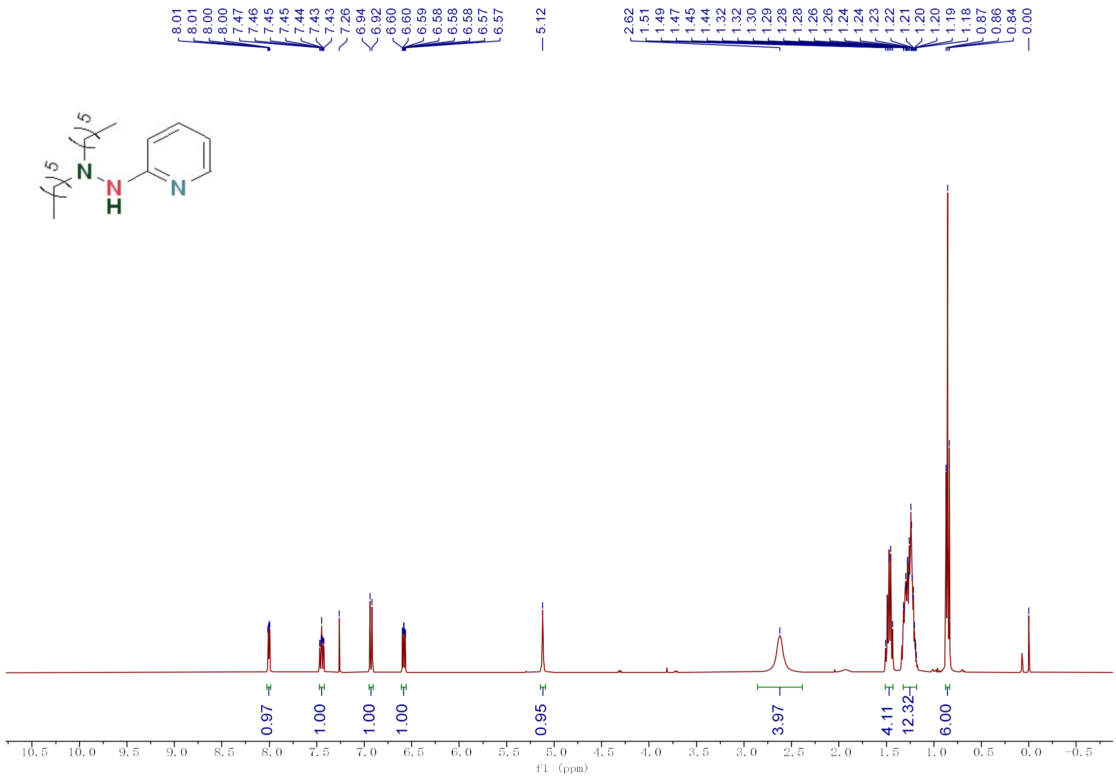
^{1}H NMR (400 MHz) Spectrum of 90 in $CDCl_3$



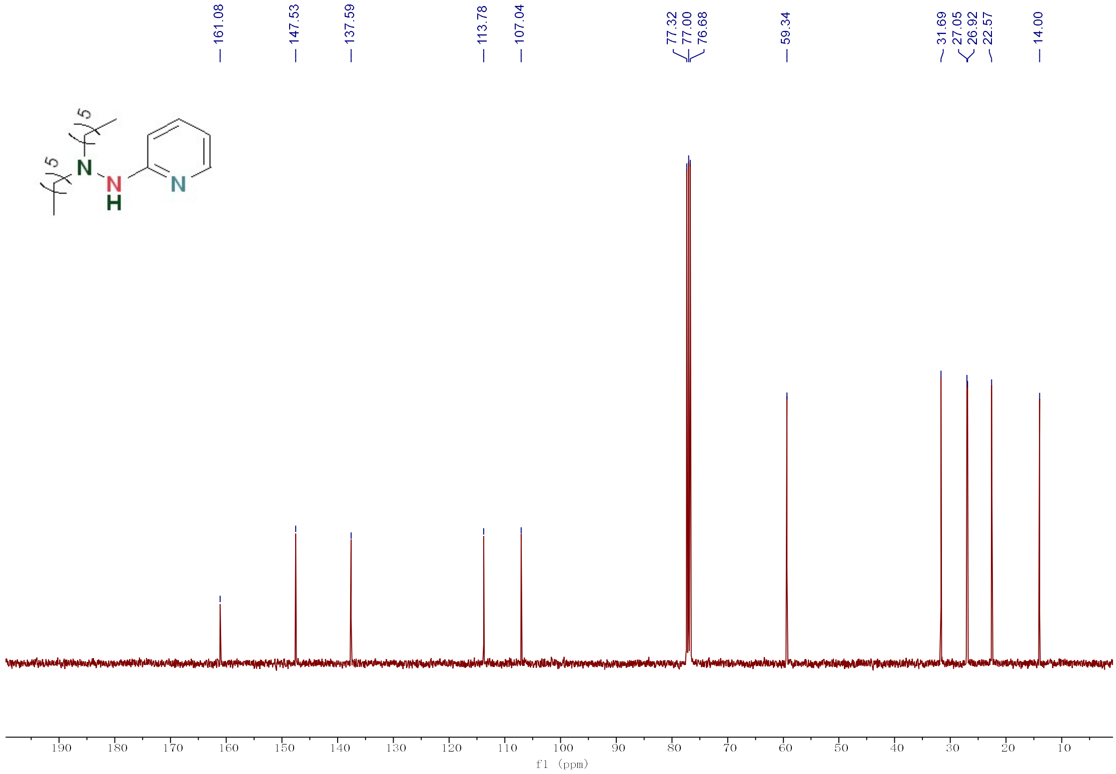
^{13}C NMR (100 MHz) Spectrum of 90 in $CDCl_3$



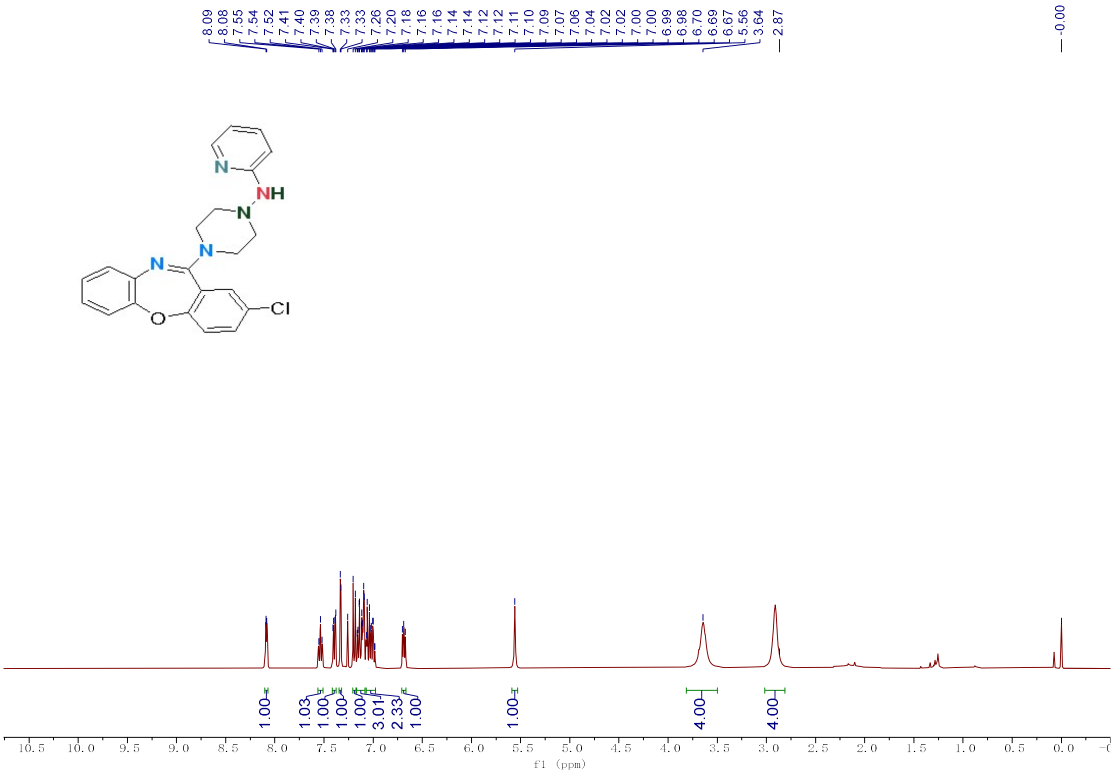
^{1}H NMR (400 MHz) Spectrum of 91 in CDCl$_3$



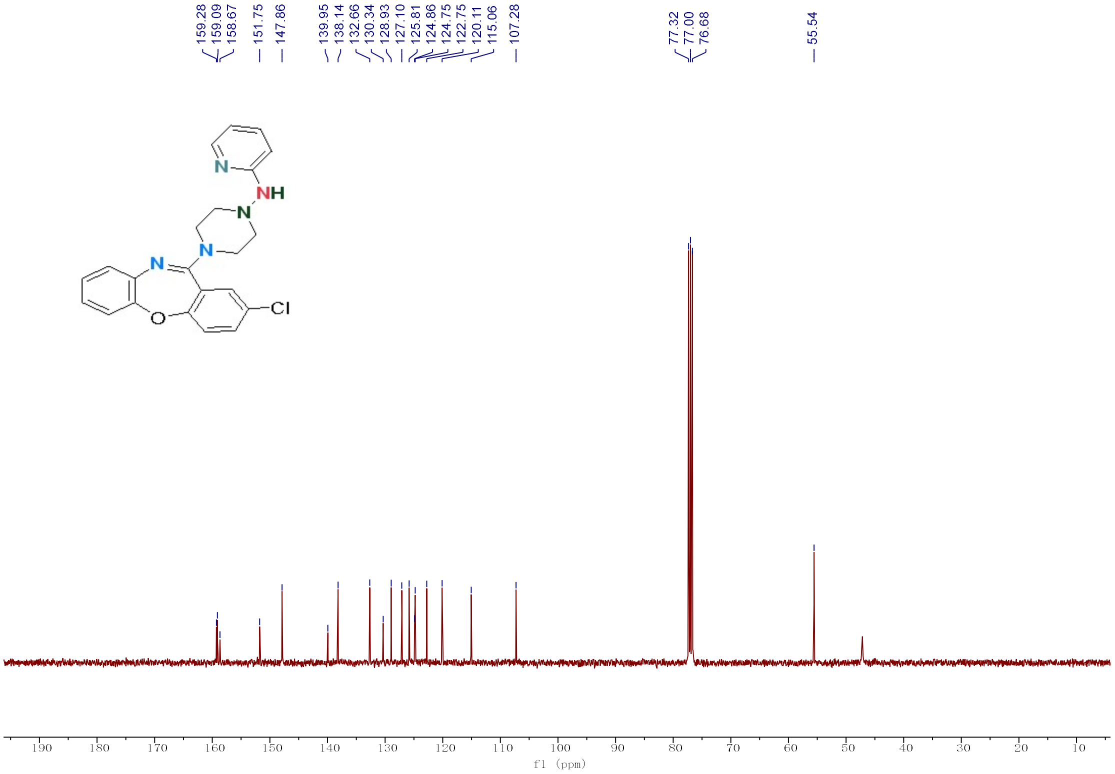
^{13}C NMR (100 MHz) Spectrum of 91 in CDCl$_3$



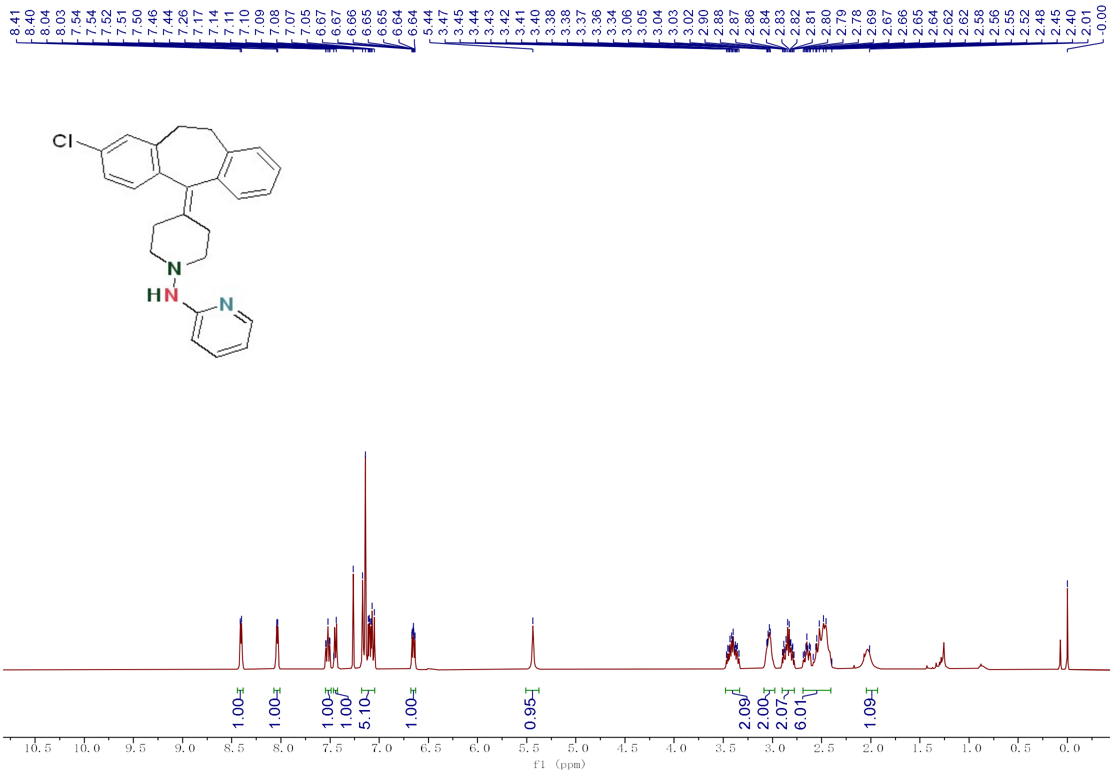
^{1}H NMR (400 MHz) Spectrum of 92 in CDCl$_3$



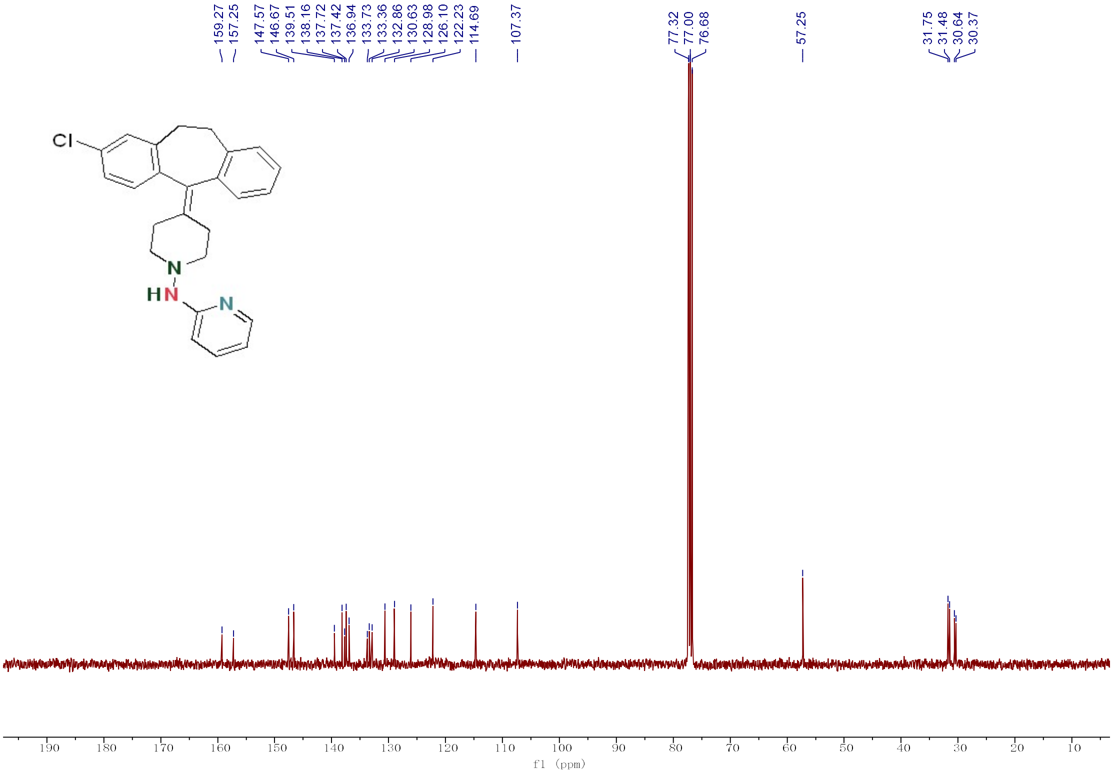
^{13}C NMR (100 MHz) Spectrum of 92 in CDCl$_3$



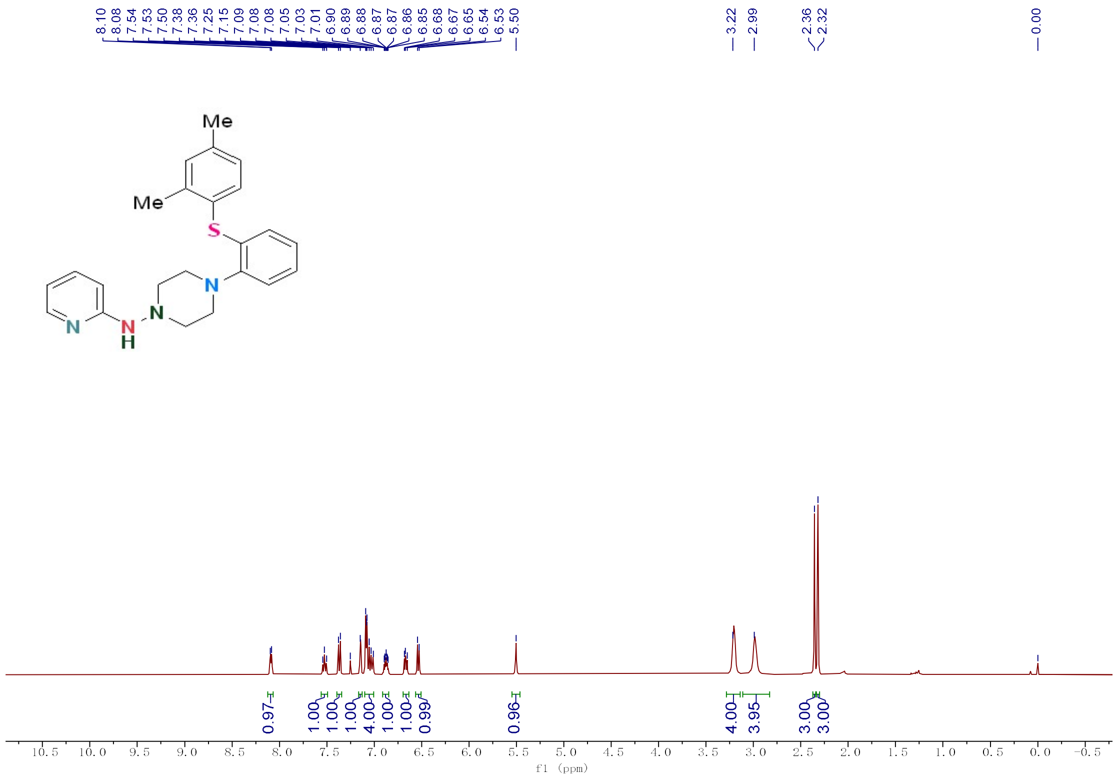
^{1}H NMR (400 MHz) Spectrum of 93 in $CDCl_3$



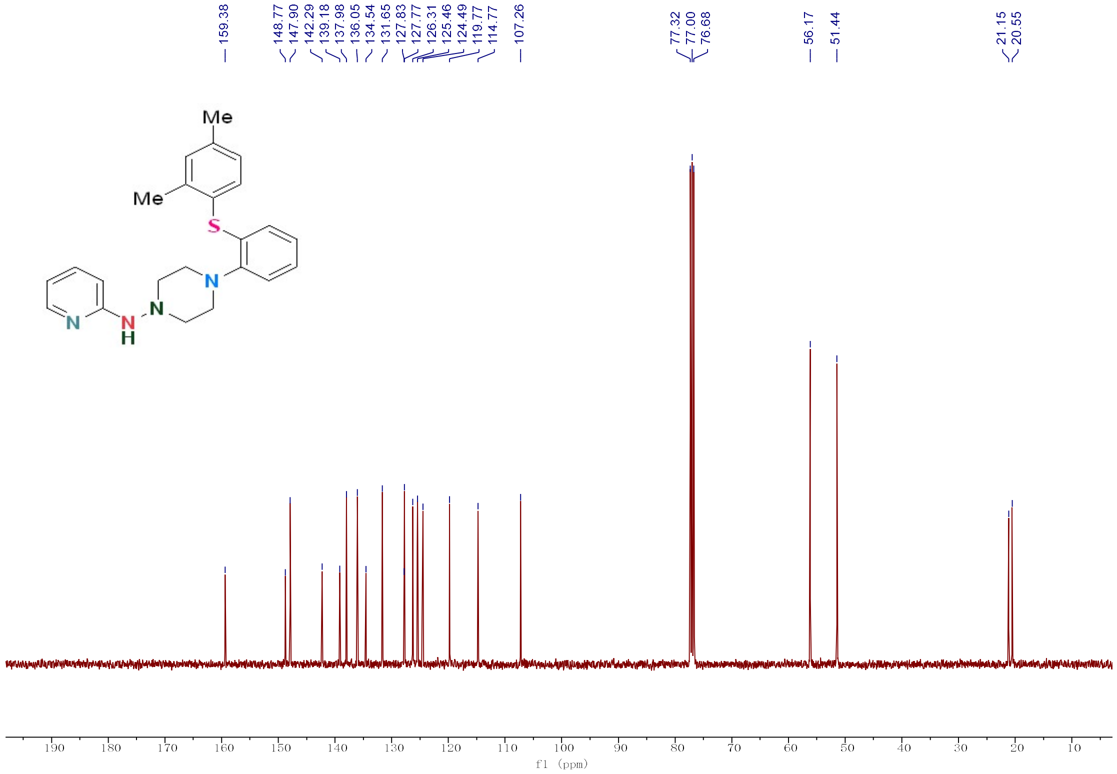
^{13}C NMR (100 MHz) Spectrum of 93 in $CDCl_3$



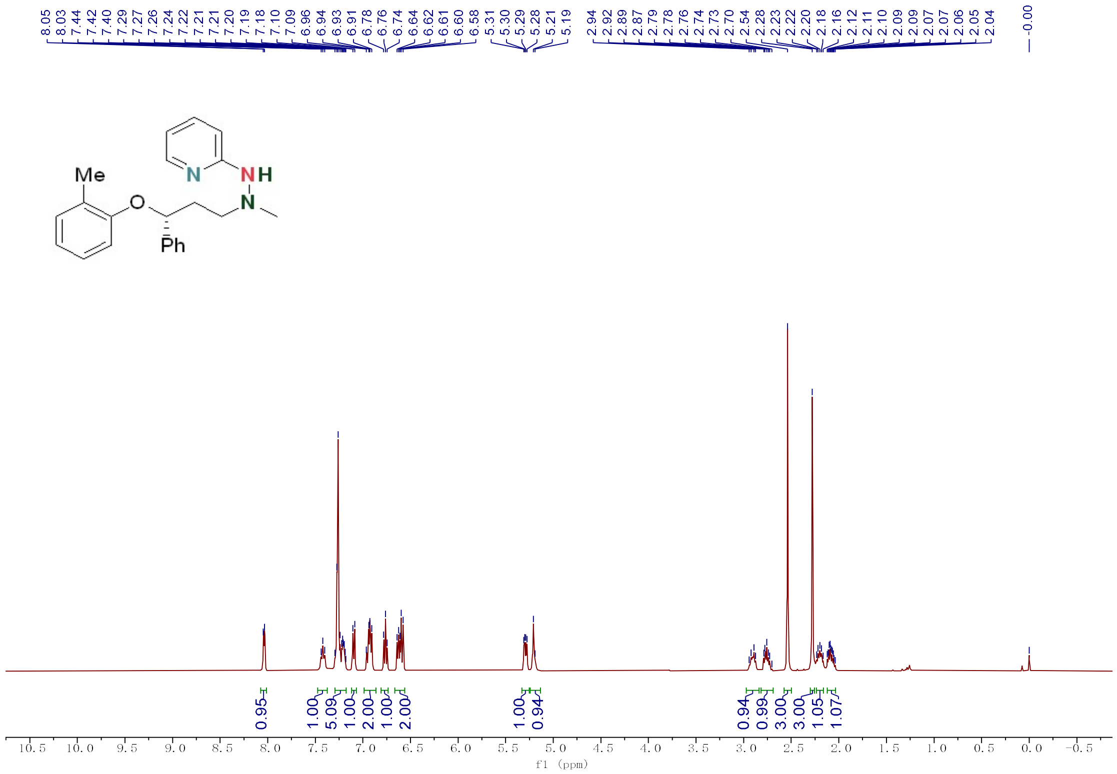
^{1}H NMR (400 MHz) Spectrum of 94 in $CDCl_3$



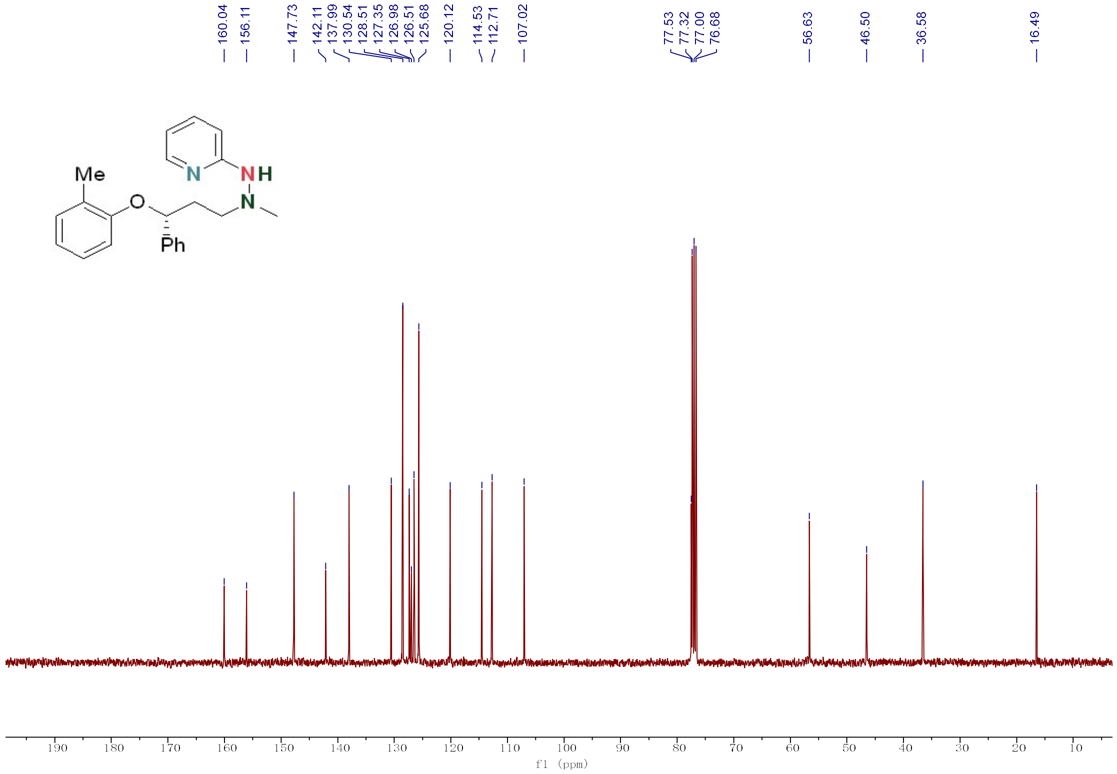
^{13}C NMR (100 MHz) Spectrum of 94 in $CDCl_3$



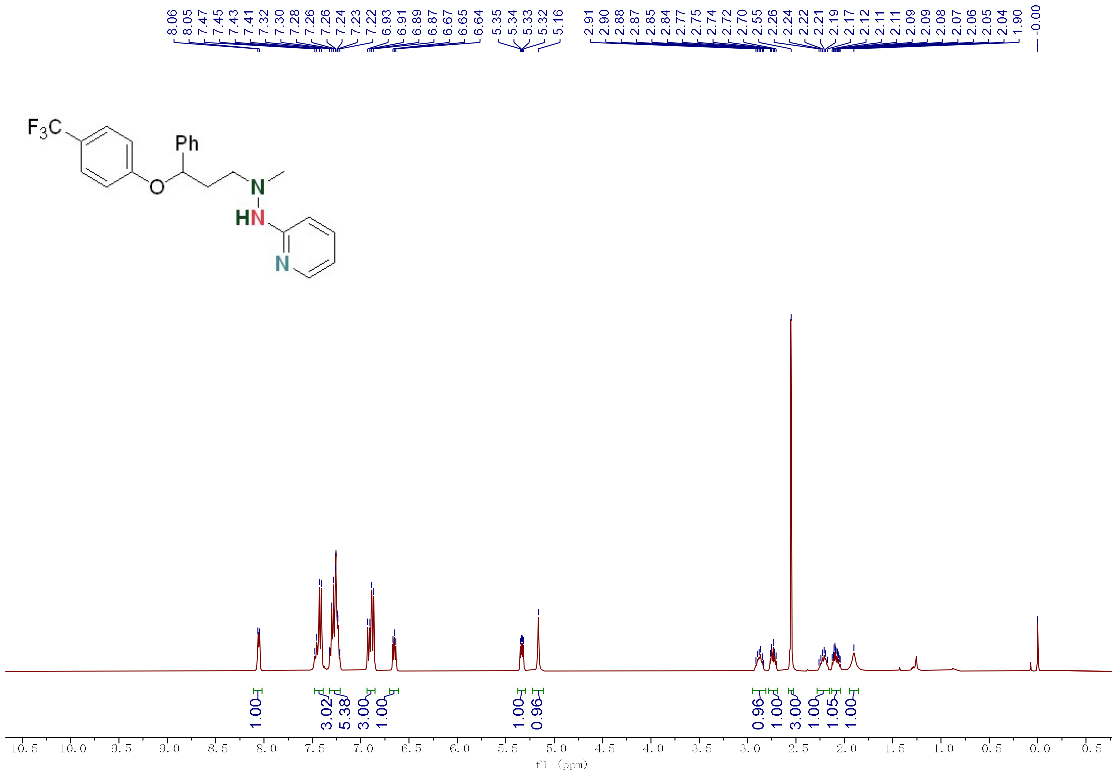
^{1}H NMR (400 MHz) Spectrum of 95 in CDCl$_3$



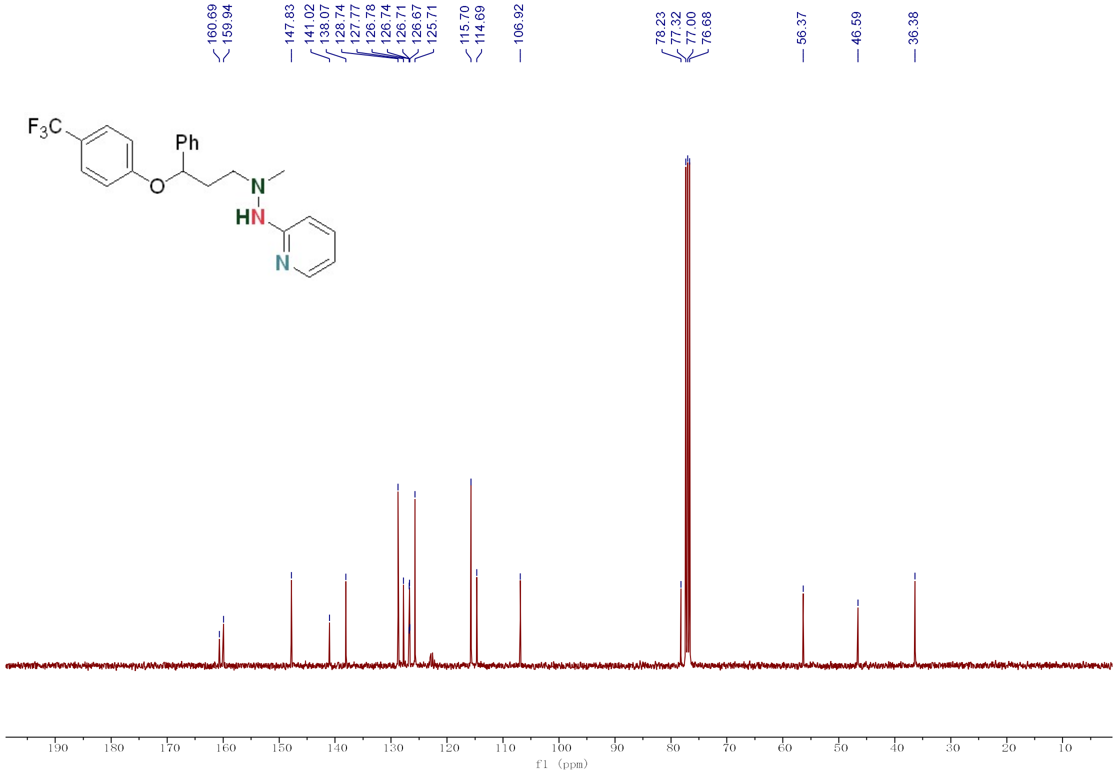
^{13}C NMR (100 MHz) Spectrum of 95 in CDCl$_3$



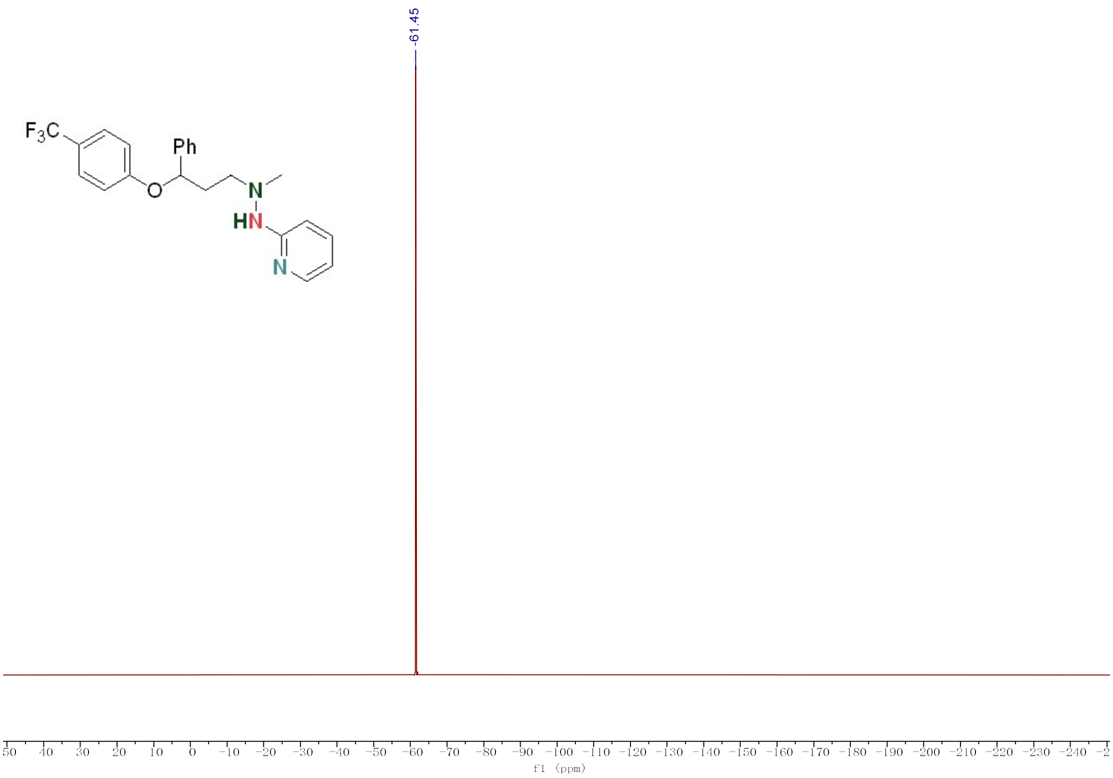
^1H NMR (400 MHz) Spectrum of 96 in $CDCl_3$



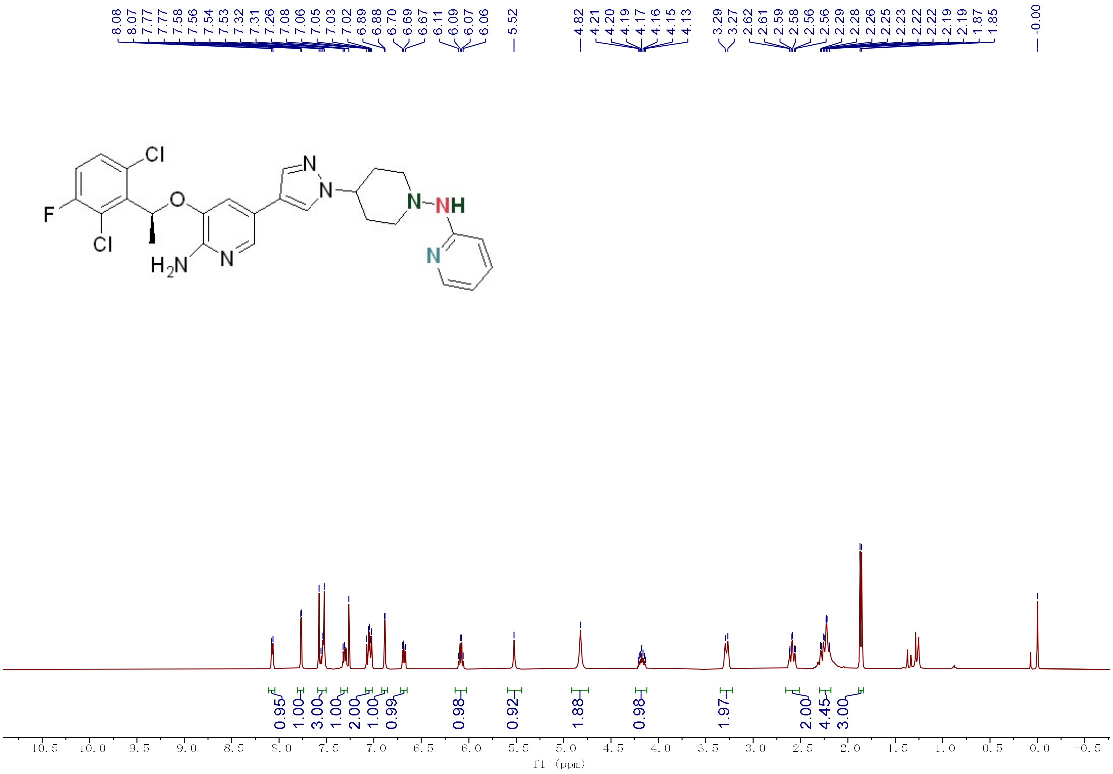
^{13}C NMR (100 MHz) Spectrum of 96 in $CDCl_3$



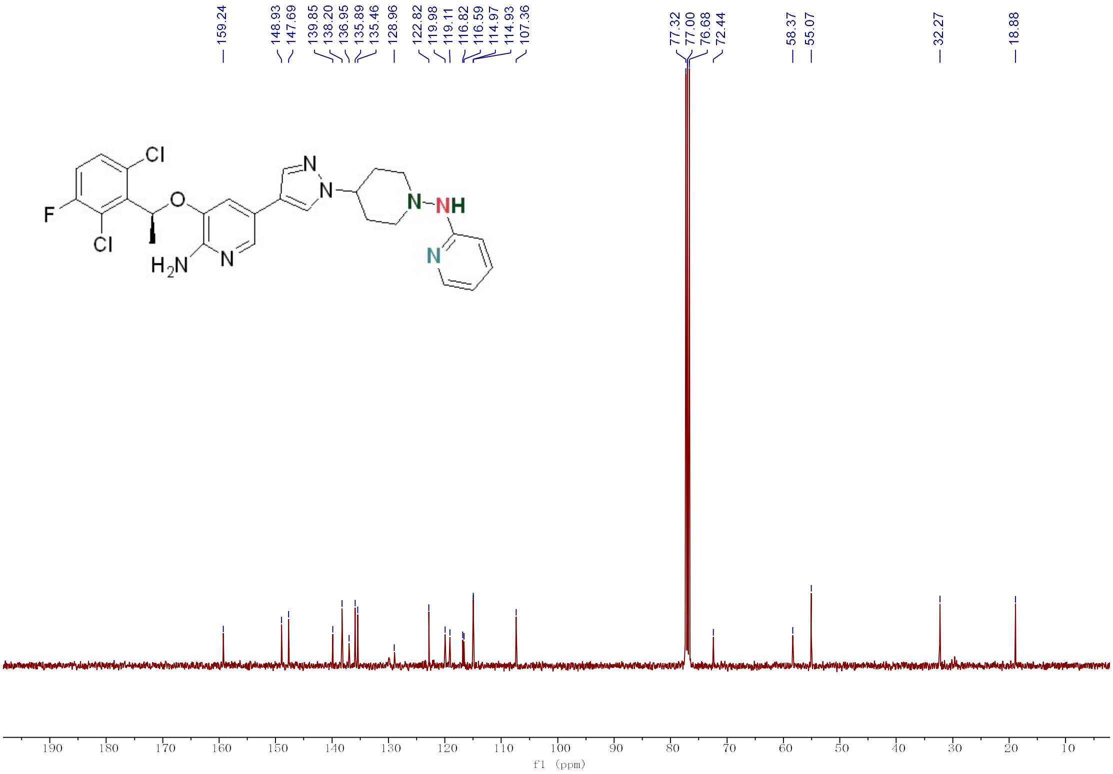
^{19}F NMR (375 MHz) Spectrum of 96 in CDCl$_3$



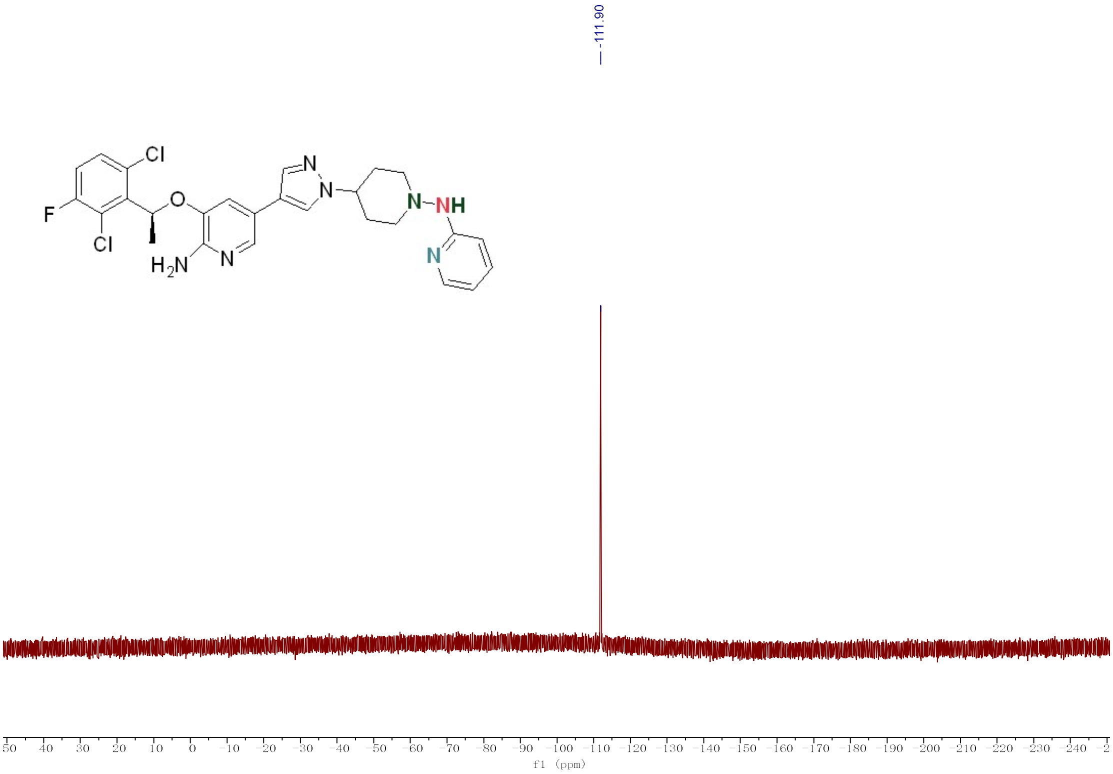
^{1}H NMR (400 MHz) Spectrum of 97 in CDCl$_3$



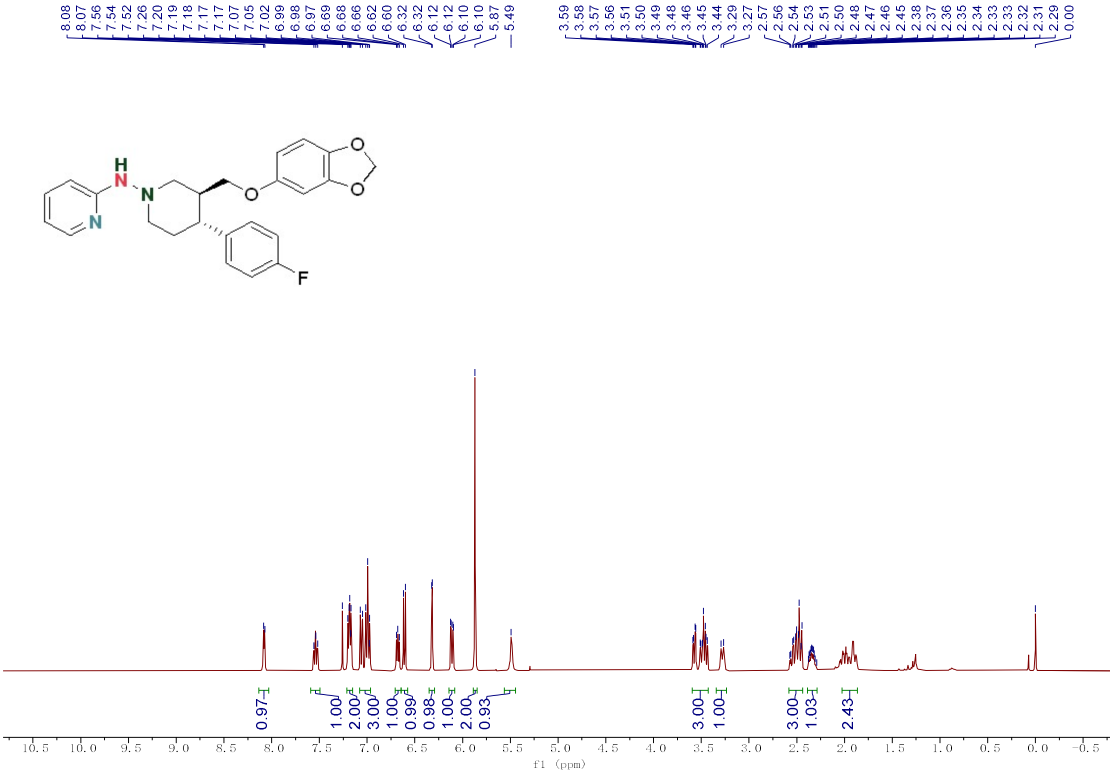
^{13}C NMR (100 MHz) Spectrum of 97 in CDCl$_3$



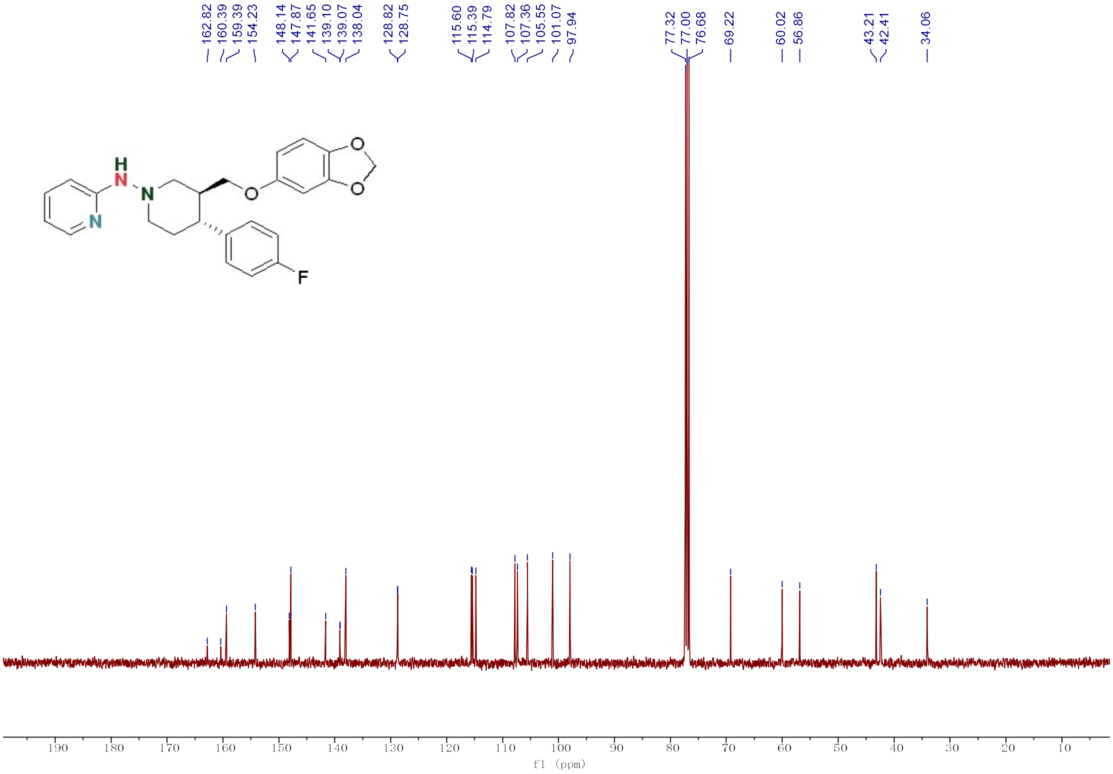
^{19}F NMR (375 MHz) Spectrum of 97 in CDCl$_3$



^{1}H NMR (400 MHz) Spectrum of 98 in $CDCl_3$



^{13}C NMR (100 MHz) Spectrum of 98 in $CDCl_3$



^{19}F NMR (375 MHz) Spectrum of 98 in $CDCl_3$