Copper-Catalyzed Oxalamide-Directed *Ortho* C–H Amination of Anilines with Alkylamines

Peng Wu,[§] Wei Huang,[⊥] Tai-Jin Cheng,[†,∥] Hai-Xia Lin,[§] Hui Xu,[†] Hui-Xiong Dai[*,†,‡,∥]

[†]Chinese Academy of Sciences Key Laboratory of Receptor Research, Shanghai Institute of Materia Medica, Shanghai 201203, China. hxdai@simm.ac.cn.

[‡]State Key Laboratory of Natural and Biomimetic Drugs, Peking University, Beijing, 100191, China.

[§]Department of Chemistry, Innovative Drug Research Center, Shanghai University, 99 Shangda Road, Shanghai, 200444, China.

[⊥]School of Pharmacy, Nanchang University, 461 Bayi Road, Nanchang 330006, China.

[∥]University of Chinese Academy of Sciences, Beijing 100049, China.

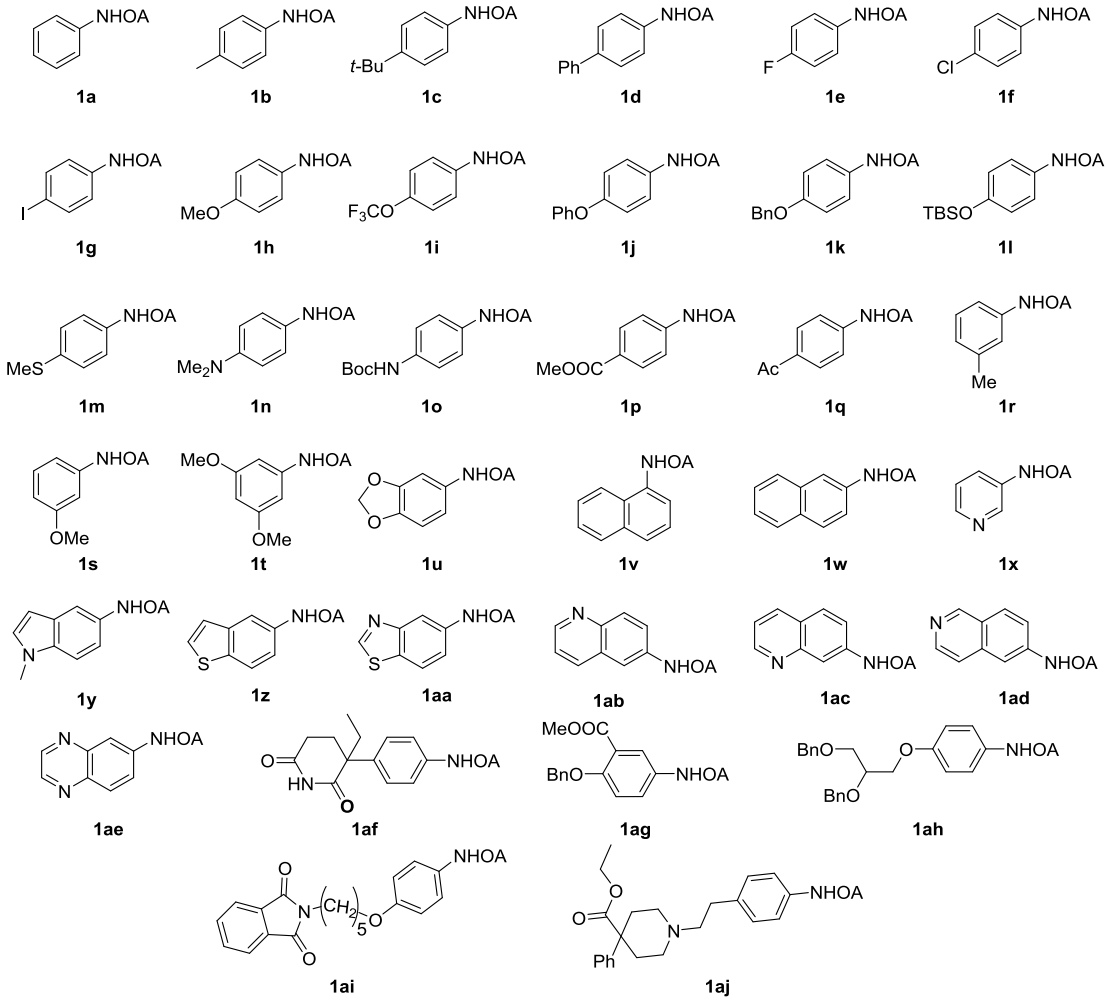
Table of Contents

1. General Information

All commercial reagents were purchased from TCI, J&k, STREM, Sigma-Aldrich, Adamas-beta, 9-Ding chemistry, and Energy Chemical of the highest purity grade. They were used without further purification unless specified. ^{1}H and ^{13}C NMR spectra were Record on Bruker AVANCE III 400 and Bruker AVANCE III 500 instruments.^{19}F NMR spectra were recorded on Bruker AVANCE III 500 instrument and are reported relative to the $CFCl_3$ as the external standard. The peaks were internally referenced to TMS (0.00 ppm) or residual undeuterated solvent signal. The following abbreviations were used to explain multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, hept = heptet, m = multiplet, and br = broad. High resolution mass spectra (Q-TOF) were recorded at the Center for Mass Spectrometry, Shanghai Institute of Material Medica.

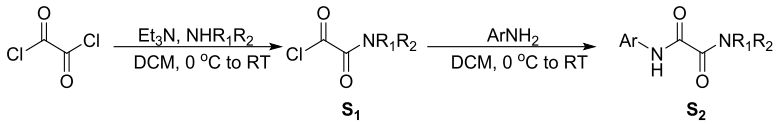
2. Experimental Section

2.1 Preparation of substrates 1a-1aj



2.2 General Procedure for Preparation of oxalamide substrates 1a-1aj [1-3]

2.2.1 General procedure for preparation of S_1

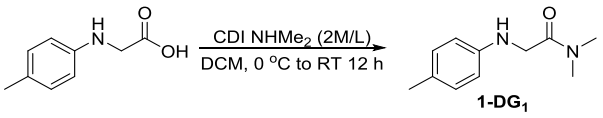


A solution of oxalyl chloride (1.27 mL, 15 mmol, 1.5 equiv.) in CH_2Cl_2 (20 mL) was added dropwise to a solution of alkylamine (1.40 mL, 10 mmol, 1.0 equiv.) in CH_2Cl_2 (40 mL) at 0 °C. After 10 mins of stirring, triethylamine (1.45 mL, 10.5 mmol, 1.05 equiv.) was added dropwise. The solution was warmed to room temperature and stirred for 6 h. Excess oxalyl chloride and solvent were removed under reduced pressure, and CH_2Cl_2 (30 mL) was added and evaporated. This operation was performed twice to give **S_1** as a pale yellow solid. The crude product was used in the next step without any purification.

2.2.2 General procedure for preparation of S_2

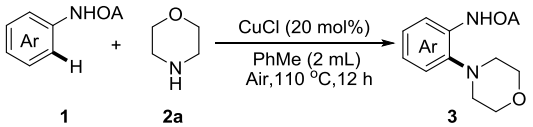
A solution of **S_1** (10 mmol, 1.25 equiv.) in CH_2Cl_2 (20 mL) was added dropwise to a solution of arylamines (8 mmol, 1.0 equiv.) in CH_2Cl_2 (40 mL) at 0 °C. After 10 mins of stirring, triethylamine (1.16 mL, 8 mmol, 1.05 equiv.) was added dropwise and then the mixture was stirred for 2 h at room temperature before being quenched by water (30 mL). The organic layer was separated and the combined organic phase was washed with brine (30 mL) and dried over anhydrous Na_2SO_4. Evaporation and column chromatography on silica gel afforded corresponding amide substrates as white solids.

2.3 Procedure for the preparation of 1-DG_1



A solution of p-tolylglycinede (10 mmol, 1.65g) in CH_2Cl_2 (30 mL) was added CDI (12 mmol 1.94g) at 0 °C. After 30 mins of stirring, dimethylamine (10 mL, 2M/L in THF) was added and then the mixture was stirred for 12 h at room temperature. The organic layer was evaporated and column chromatography on silica gel (PE/EA = 5/1) afforded corresponding substrates as white solid in 45% yield.

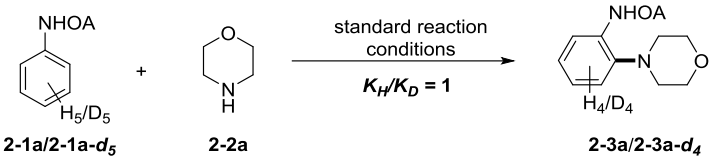
2.4 General procedure for the copper-catalyzed oxalamide-directed *ortho* C–H amination of anilines with alkylamines



A solution of oxalyl amide protected arylamine **1** (0.1 mmol, 1.0 equiv.) and CuCl (0.02 mmol, 20 mol%) in dry PhMe (2 mL) was added morpholine **2a** (0.2 mmol, 2.0 equiv.) in 15 ml sealed vials under an atmosphere of air. The mixture was stirred at 110 °C in oil bath for 12 hours. After allotted time the reaction mixture was cooled to room temperature. The mixture was diluted with EA (7 mL) and added aqueous solution of ammonia (3 mL), followed by brine solution (1 mL). The combined

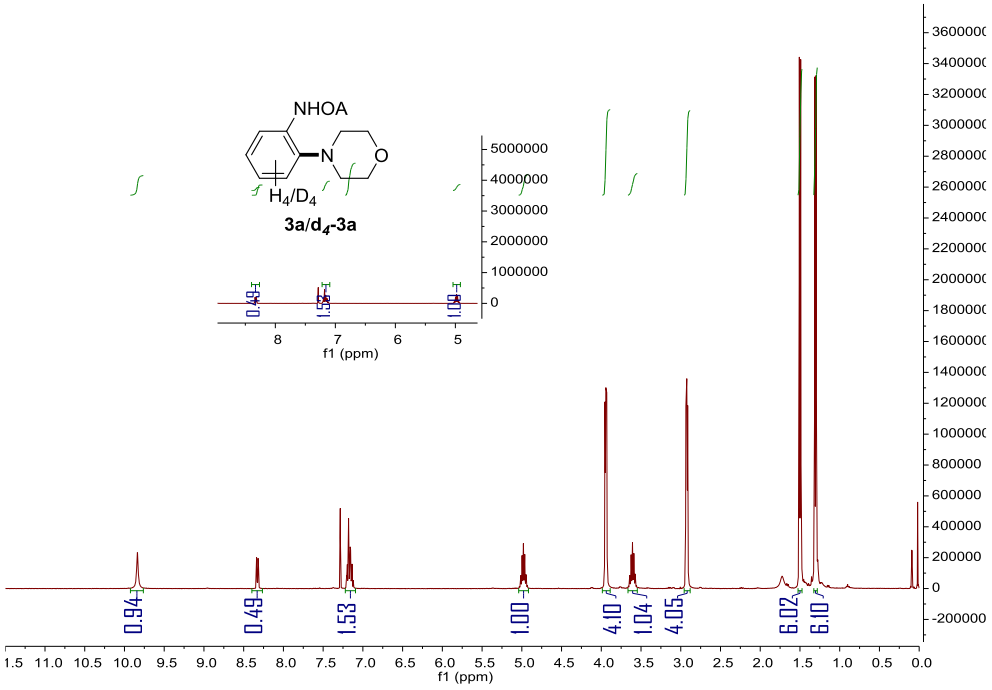
organic layers were dried by Na_2SO_4. The solvent was removed under vacuum. The resulting crude mixture was purified by flash chromatography to give the desired products **3**.

2.5 Kinetic isotope effect of C-H amination of 1a and 1a-*d*$_5$

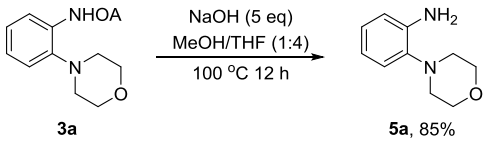


2-1a/2-1a-*d*$_5$ **2-2a** **2-3a/2-3a-*d*$_4$**

A solution of **1a** (12.4 mg, 0.05 mmol) and **1a-*d*$_5$** (12.7 mg, 0.05 mmol), CuCl (2 mg, 0.02 mmol) in dry PhMe (2 mL) was added morpholine **2a** (17 uL, 0.2 mmol) in 15 mL sealed vials under an atmosphere of air. The mixture was stirred at 110 °C in oil bath for 12 hours. After allotted time the reaction mixture was cooled to room temperature, the mixture was diluted with EA (7 mL) and added aqueous solution of ammonia (3 mL), followed by brine solution (1 mL). The combined organic layers were dried by Na_2SO_4. The solvent was removed under vacuum and then purified by silica gel column chromatography with PE/acetone (8/1) to afford desired product **3a**/**3a-*d*$_4$** (18.6 mg, 56% yield).



2.6 Removal of the directing group

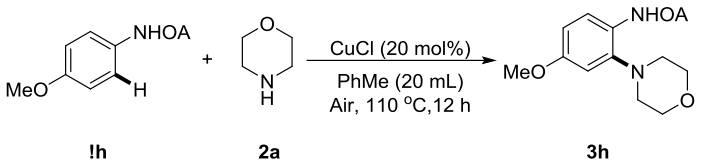


3a **5a**, 85%

As previous literature report[1-3], **3a (**34.7 mg 0.1 mmol**)** was dissolved a mixture of THF/MeOH (0.5/2 ml) and excess amount of NaOH (20.0 mg, 5.0 equiv.) was added. Then the mixture was refluxed at 100 °C in oil bath for 12 hours After allotted time the reaction mixture was cooled to room temperature, solvent was evaporated and then poured into separating funnel with ethyl acetate. The organic layer was washed with water and then with brine solution and dried over Na_2SO_4. Solvent was

evaporated under reduced pressure and then purified by silica gel column chromatography with PE/EA (5/1) afforded desired amine product **5a** (15.0 mg, 85% yield).

2.7 1 mmol scale reaction (Scheme 2, compound 3h)



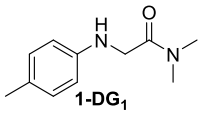
!h 2a 3h

A solution of **1h** (1 mmol, 278 mg) and CuCl (0.2 mmol, 20 mol%) in dry PhMe (20 mL) was added morpholine **2a** (2 mmol, 2.0 equiv.) in 250 ml sealed vials under an atmosphere of air. The mixture was stirred at 110 °C in oil bath for 12 hours. After allotted time the reaction mixture was cooled to room temperature. The mixture was diluted with EA (30 mL) and added aqueous solution of ammonia (10 mL), followed by brine solution (20 mL). The combined organic layers were dried by Na_2SO_4. The solvent was removed under vacuum. The resulting crude mixture was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid **3h** (311mg, 86% yield**)**.

3. Analytical Data

3.1 Characterization of substrates

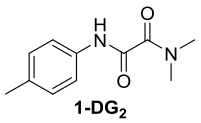
N, N-dimethyl-2-(p-tolylamino) acetamide



1-DG$_1$

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (640.8 mg, 45% yield). Mp: 119.5-122.3 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.00 (d, *J* = 8.2 Hz, 2H), 6.56 (d, *J* = 8.4 Hz, 2H), 3.84 (s, 2H), 3.03 (s, 6H), 2.24 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.2, 145.2, 129.7, 125.7, 113.1, 45.6, 35.8, 35.7, 20.4. **HRMS**: (ESI-TOF) m/z calcd for $C_{11}H_{16}N_2O$ $[M+H]^+$: 192.1275, found: 192.1277..

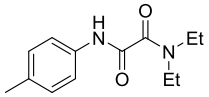
N^1, N^1-dimethyl-N^2-(p-tolyl) oxalamide



1-DG$_2$

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (998.4 mg, 65% yield). Mp: 128-130 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.25 (s, 1H), 7.48 (d, *J* = 8.4 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 3.50 (s, 3H), 3.08 (s, 3H), 2.32 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.7, 158.3, 134.7, 134.3, 129.5, 119.8, 38.8, 37.7, 20.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{11}H_{15}N_2O_2$ $[M+H]^+$: 207.1128, found: 207.1124.

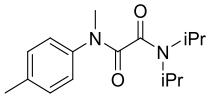
N^1, N^1-diethyl-N^2-(p-tolyl) oxalamide



1-DG$_3$

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (1.46 g, 83% yield). Mp: 71-73 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.50 (s, 1H), 7.50 (d, *J* = 8.4 Hz, 2H), 7.12 (d, *J* = 8.2 Hz, 2H), 3.79 (q, *J* = 7.0 Hz, 2H), 3.42 (q, *J* = 7.1 Hz, 2H), 2.30 (s, 3H), 1.29 (t, *J* = 7.0 Hz, 3H), 1.18 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 161.5, 158.7, 134.4, 134.3, 129.3, 119.8, 43.5, 42.4, 20.8, 14.6, 12.3. **HRMS**: (ESI-TOF) m/z calcd for $C_{13}H_{19}N_2O_2$ $[M+H]^+$: 235.1441, found: 235.1440.

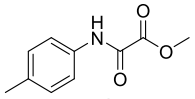
N^1, N^1-diisopropyl-N^2-methyl-N^2-(p-tolyl) oxalamide



1-DG$_4$

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (1.72 g, 82% yield). Mp: 192-194 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.20 (d, *J* = 8.4 Hz, 2H), 7.14 (d, *J* = 8.2 Hz, 2H), 3.70 (hept, *J* = 6.6 Hz, 1H), 3.32 (s, 3H), 3.19 (hept, *J* = 7.1 Hz, 1H), 2.33 (s, 3H), 1.16 (d, *J* = 6.8 Hz, 6H), 1.01 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 165.6, 164.0, 138.7, 137.7, 129.6, 125.6, 50.3, 45.3, 35.7, 21.0, 20.4, 19.6. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{25}N_2O_2$ $[M+H]^+$: 277.1911, found: 277.1918.

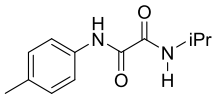
methyl 2-oxo-2-(p-tolylamino) acetate



1-DG$_5$

The synthesis of this substrate based on the literature[4] and was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (1.29 g, 90% yield). mp: 142-145 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.85 (s, 1H), 7.52 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.2 Hz, 2H), 3.95 (s, 3H), 2.33 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 161.6, 153.5, 135.4, 133.7, 129.7, 119.86, 54.0, 21.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{10}H_{12}NO_3$ $[M+H]^+$: 194.0812, found: 194.0806.

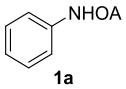
N^1-isopropyl-N^2-(p-tolyl) oxalamide



1-DG$_6$

The title compound was purified by flash chromatography (PE/EA = 4/1) to afford the product as a white solid (478 mg, 29% yield). Mp: 193-195 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.23 (s, 1H), 7.51 (d, *J* = 8.4 Hz, 2H), 7.41 (br, 1H), 7.17 (d, *J* = 8.2 Hz, 2H), 4.10 (dhept, *J* = 8.5, 6.6 Hz, 1H), 2.34 (s, 3H), 1.25 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ159.1, 157.5, 135.0, 133.9, 129.6, 119.7, 42.3, 22.3, 20.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{12}H_{15}N_2O_2$ $[M-H]^-$: 219.1139, found: 219.1136.

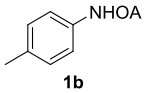
N^1, N^1-diisopropyl-N^2-phenyloxalamide



1a

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.83 g, 92% yield), Mp: 171-173 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.14 (s, 1H), 7.67 – 7.55 (m, 2H), 7.34 (dd, *J* = 8.5, 7.4 Hz, 2H), 7.17 – 7.06 (m,1H), 4.98 (hept, *J* = 6.7 Hz, 1H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.5, 160.4, 137.2, 129.0, 124.7, 119.8, 49.8, 46.9, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{14}H_{21}N_2O_2$ $[M+H]^+$: 249.1598, found:249.1592.

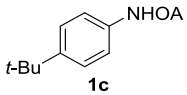
N^1, N^1-diisopropyl-N^2-(p-tolyl) oxalamide



1b

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.95g, 93% yield). Mp: 141-143 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.32 (s, 1H), 7.51 (d, *J* = 8.4 Hz, 2H), 7.12 (d, *J* = 8.2 Hz, 2H), 4.87 (hept, *J* = 6.7 Hz, 1H), 3.56 (hept, *J* = 6.8 Hz,1H), 2.30 (s, 3H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.7, 160.3, 134.7, 134.3, 129.4, 119.8, 49.8, 46.8, 20.9, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{23}N_2O_2$ $[M+H]^+$: 263.1754, found: 263.1752.

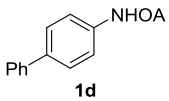
N^1-(4-(tert-butyl) phenyl)-N^2, N^2-diisopropyloxalamide



1c

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.07 g, 85% yield). Mp: 146-148 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.89 (s, 1H), 7.61 – 7.42 (m, 2H), 7.43 – 7.31 (m, 2H), 5.02 (hept, *J* = 6.7 Hz, 1H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.30 (s, 9H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.3, 147.8, 134.5, 125.8, 119.6, 49.8, 46.9, 34.4, 31.3, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{29}N_2O_2$ $[M+H]^+$: 305.2224, found: 305.2217.

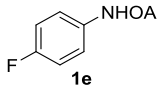
N^1-([1,1'-biphenyl]-4-yl)-N^2, N^2-diisopropyloxalamide



1d

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.26 g, 87% yield). Mp: 170-172 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.42 (s, 1H), 7.72 (d, *J* = 8.6 Hz, 2H), 7.57 (d, *J* = 8.6 Hz, 4H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.38 – 7.29 (m, 1H), 4.94 (hept, *J* = 6.8 Hz, 1H), 3.60 (hept, *J* = 6.8 Hz, 1H), 1.49 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.4, 140.4, 137.6, 136.6, 128.7, 127.6, 127.1, 125.8, 120.1, 49.9, 46.9, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{25}N_2O_2$ $[M+H]^+$: 325.1911, found: 325.1908.

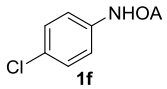
N^1-(4-fluorophenyl)-N^2, N^2-diisopropyloxalamide



1e

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.98 g, 93% yield). Mp: 127-130 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.97 – 7.43 (m, 2H), 7.10 – 6.83 (m, 2H), 4.93 (hept, *J* = 6.7 Hz, 1H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 163.1, 160.7, 159.5 (d, *J* = 243.7 Hz), 133.5 (d, *J* = 2.8 Hz), 121.5 (d, *J* = 8.2 Hz), 115.5 (d, *J* = 22.2 Hz), 50.1, 46.7, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{14}H_{20}FN_2O_2$ $[M+H]^+$: 267.1503, found: 267.1502. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -117.49.

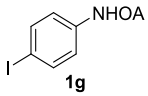
N^1-(4-chlorophenyl)-N^2, N^2-diisopropyloxalamide



1f

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.99 g, 88% yield). Mp: 154-156 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 7.57 (d, *J* = 8.8 Hz, 2H), 7.29 (d, *J* = 8.8 Hz, 2H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 160.6, 136.0, 129.6, 128.9, 121.0, 50.1, 46.8, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{14}H_{20}ClN_2O_2$ $[M+H]^+$: 283.1208, found: 283.1200.

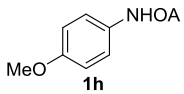
N^1-(4-iodophenyl)-N^2, N^2-diisopropyloxalamide



1g

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.81 g, 94% yield). Mp: 146-149 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.59 (s, 1H), 7.61 (d, *J* = 8.8 Hz, 2H), 7.42 (d, *J* = 8.8 Hz, 2H),, 4.79 (hept, *J* = 6.7 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.44 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.7, 160.5, 137.9, 137.2, 121.6, 88.1, 50.0, 46.8, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{14}H_{20}IN_2O_2$ $[M+H]^+$: 375.0564, found: 375.0565.

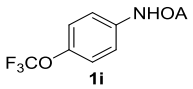
N^1, N^1-diisopropyl-N^2-(4-methoxyphenyl) oxalamide



1h

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.91 g, 86% yield). Mp: 115-117 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.11 (s, 1H), 7.54 (d, *J* = 9.0 Hz, 2H), 6.89 (d, *J* = 9.0 Hz, 2H), 5.28 – 4.91 (m, 1H), 3.81 (s, 3H), 3.57 (hept, *J* = 6.7 Hz, 1H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.7, 160.2, 156.6, 130.4, 121.4, 114.1, 55.4, 49.8, 46.8, 20.8, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{23}N_2O_3$ $[M+H]^+$: 279.1703, found:279.1703.

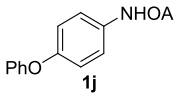
N^1, N^1-diisopropyl-N^2-(4-(trifluoromethoxy)phenyl) oxalamide



1i

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.28 g, 86% yield). mp: 93-96 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.25 (s, 1H), 7.76 – 7.45 (m, 2H), 7.19 (d, *J* = 8.6 Hz, 2H), 4.98 (hept, *J* = 6.8 Hz, 1H), 3.59 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 163.00, 160.8, 145.5, 136.2, 121.7, 121.0, 120.4 (q, *J* = 256.7 Hz), 50.1, 46.8, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{20}F_3N_2O_3$ $[M+H]^+$: 333.1421, found: 333.1419. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -58.13.

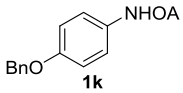
N^1, N^1-diisopropyl-N^2-(4-phenoxyphenyl) oxalamide



1j

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.48 g, 91% yield). Mp: 121-125 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.35 (s, 1H), 7.70 – 7.52 (m, 2H), 7.38 – 7.29 (m, 2H), 7.13 – 7.04 (m, 1H), 7.03 – 6.95 (m, 4H), 4.90 (hept, *J* = 7.0 Hz, 1H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.9 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 160.4, 157.4, 153.7, 132.8, 129.7, 123.1, 121.4, 119.5, 118.4, 49.9, 46.8, 20.8, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{25}N_2O_3$ $[M+H]^+$: 341.1860, found: 341.1857..

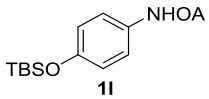
N^1-(4-(benzyloxy) phenyl)-N^2, N^2-diisopropyloxalamide



1k

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.69 g, 95% yield). Mp: 170-172 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.07 (s, 1H), 7.60 – 7.48 (m, 2H), 7.46 – 7.29 (m, 5H), 7.04 – 6.69 (m, 2H), 5.05 (s, 2H), 4.98 (hept, *J* = 6.7 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.5, 160.0, 155.9, 136.9, 130.9, 128.6, 128.0, 127.4, 121.4, 115.2, 70.2, 49.7, 46.9, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{27}N_2O_3$ $[M+H]^+$: 355.2016, found: 355.2017.

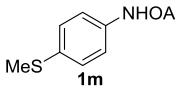
N^1-(4-((tert-butyldimethylsilyl) oxy) phenyl)-N^2, N^2-diisopropyloxalamide



1l

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.54 g, 84% yield). Mp: 118-122 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), δ 7.46 (d, *J* = 8.9 Hz, 2H), 6.79 (d, *J* = 8.9 Hz, 2H), 4.95 (hept, *J* = 6.7 Hz, 1H), 3.56 (hept, *J* = 6.8 Hz, 1H), 1.45(d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H), 0.97 (s, 9H), 0.17 (s, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.1, 152.6, 130.9, 121.3, 120.3, 49.8, 46.9, 25.6, 20.9, 20.1, 18.2, -4.5. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{35}N_2O_3Si$ $[M+H]^+$: 379.2411, found: 379.2419.

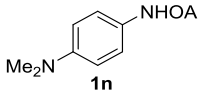
N^1, N^1-diisopropyl-N^2-(4-(methylthio) phenyl) oxalamide



1m

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.95 g, 83% yield). Mp: 118-121 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.56 (d, *J* = 8.8 Hz, 2H), 7.22 (d, *J* = 8.7 Hz, 2H), 4.88 (hept, *J* = 6.6 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.45 (s, 3H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6 160.3, 134.9, 134.1, 127.7, 120.3, 49.8, 46.9, 20.8, 20.0, 16.5. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{23}N_2O_2S$ $[M+H]^+$: 295.1475, found: 295.1467.

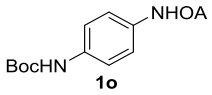
N^1-(4-(dimethylamino) phenyl)-N^2, N^2-diisopropyloxalamide



1n

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.82 g, 78% yield). Mp: 108-111 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.00 (s, 1H), 7.63 – 7.34 (m, 2H), 6.84 – 6.45 (m, 2H), 4.96 (hept, *J* = 6.7 Hz, 1H), 3.55 (hept, *J* = 6.8 Hz, 1H), 2.91 (s, 6H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 159.9, 148.1, 126.0, 121.3, 112.8, 49.7, 46.8, 40.8, 20.8, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{26}N_3O_2$ $[M+H]^+$: 292.2020, found: 292.2013.

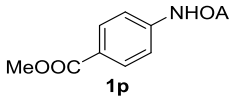
tert-butyl (4-(2-(diisopropylamino)-2-oxoacetamido) phenyl) carbamate



1o

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.20g, 76% yield). Mp > 200 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.99 (s, 1H), 7.59 – 7.47 (m, 2H), 7.33 (d, *J* = 8.5 Hz, 2H), 6.49 (s, 1H), 5.04 (hept, *J* = 6.7 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.51 (s, 9H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 160.0, 152.7, 135.2, 132.4, 120.5, 119.1, 80.6, 49.7, 46.6, 28.3, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_4$ $[M+H]^+$: 364.2231, found: 364.2231.

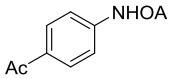
methyl 4-(2-(diisopropylamino)-2-oxoacetamido) benzoate



1p

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.70 g, 94% yield). Mp: 71-74 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.70 (s, 1H), 8.00 (d, *J* = 8.6 Hz, 2H), 7.71 (dd, *J* = 8.6, 2H), 4.82 (hept, *J* = 6.8 Hz, 1H), 3.90 (s, 3H), 3.58 (hept, *J* = 6.8 Hz, 1H), 1.45 (d, *J* = 6.8, 6H), 1.27 (d, *J* = 6.7, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 166.5, 162.3, 160.6, 141.5, 130.7, 125.0, 119.0, 52.0, 50.0, 46.9, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{23}N_2O_4$ $[M+H]^+$: 307.1652, found: 307.1655.

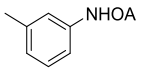
N^1-(4-acetylphenyl)-N^2, N^2-diisopropyloxalamide



1q

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.74g, 75% yield). Mp: 130-132 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.51 (s, 1H), 7.94 (d, *J* = 8.7 Hz, 2H), 7.72 (d, *J* = 8.8 Hz, 2H), 4.93 (hept, *J* = 6.7 Hz, 1H), 3.60 (hept, *J* = 6.8 Hz, 1H), 2.57 (s, 3H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 196.9, 161.9, 160.4, 141.5, 133.3, 129.7, 119.1, 49.9, 47.1, 26.5, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{23}N_2O_3$ $[M+H]^+$: 291.1703, found: 291.1700.

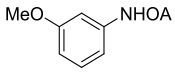
N^1, N^1-diisopropyl-N^2-(m-tolyl) oxalamide



1r

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.82g, 87% yield). Mp: 120-123 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.14 (s, 1H), 7.50 (s, 1H), 7.36 (d, *J* = 8.3 Hz, 1H), 7.21 (t, *J* = 7.8 Hz, 1H), 6.95 (d, *J* = 7.5 Hz, 1H), 4.94 (hept, *J* = 6.7 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.34 (s, 3H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.5, 160.3 138.9, 137.1, 128.8, 125.6, 120.9, 116.9, 49.8, 46.9, 21.5, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{23}N_2O_2$ $[M+H]^+$: 263.1754, found: 263.1756.

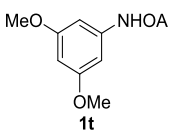
N^1, N^1-diisopropyl-N^2-(3-methoxyphenyl) oxalamide



1s

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.91g, 86% yield). Mp: 137-141 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.37 (s, 1H), 7.33 (t, *J* = 2.3 Hz, 1H), 7.21 (t, *J* = 8.1 Hz, 1H), 7.14 (ddd, *J* = 8.0, 2.0, 0.9 Hz, 1H), 6.68 (ddd, *J* = 8.2, 2.5, 1.0 Hz, 1H), 4.86 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.4, 160.0, 138.5, 129.7, 112.1, 110.8, 105.3, 55.3, 49.8, 46.8, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{23}N_2O_3$ $[M+H]^+$: 279.1703, found:279.1704.

N^1-(3,5-dimethoxyphenyl)-N^2, N^2-diisopropyloxalamide

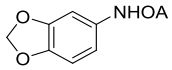


1t

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (2.40g, 79% yield). Mp: 161-164 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.37 (s, 1H), 6.87 (d, *J* = 2.2 Hz, 2H), 6.24 (s, 1H), 4.85 (hept, *J* = 6.8, 1H), 3.76 (s, 6H), 3.56 (hept, *J* = 6.8 Hz, 1H), 1.45(d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.7, 160.9, 160.5, 139.0, 98.0, 97.4, 55.3, 49.8, 46.8, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{25}N_2O_4$ $[M+H]^+$:

309.1809, found:309.1803.

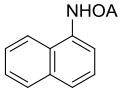
N^1-(benzo[d] [1,3] dioxol-5-yl)-N^2, N^2-diisopropyloxalamide



1u

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (19.8 mg, 85% yield). Mp: 148-150 ºC. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.19 (s, 1H), 7.31 (d, *J* = 2.1 Hz, 1H), 6.94 (dd, *J* = 8.4, 2.1 Hz, 1H), 6.74 (d, *J* = 8.4 Hz, 1H), 5.94 (s, 2H), 4.92 (hept, *J* = 6.6 Hz, 1H), 3.56 (hept, *J* = 6.8 Hz, 1H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.5, 160.1, 147.7, 144.5, 131.5, 113.0, 108.1, 102.2, 100.3, 49.8, 46.9, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{21}N_2O_4$ $[M+H]^+$: 293.1496, found: 293.1497.

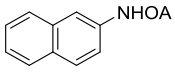
N^1, N^1-diisopropyl-N^2-(naphthalen-1-yl) oxalamide



1v

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.98g, 83% yield). Mp: 120-124 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 8.10 (d, *J* = 7.5 Hz, 1H), 7.97 (d, *J* = 8.2 Hz, 1H), 7.88 (dd, *J* = 7.6, 1.8 Hz, 1H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.62 – 7.43 (m, 3H), 5.20 (hept, *J* = 6.5 Hz, 1H), 3.64 (hept, *J* = 6.8 Hz, 1H), 1.52 (d, *J* = 6.8 Hz, 6H), 1.31 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.0, 160.4, 134.0, 131.5, 128.7, 125.6, 125.4, 125.1, 125.9, 125.6, 120.6, 119.4, 49.7, 47.2, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{23}N_2O_2$ $[M+H]^+$: 299.1754, found: 299.1756.

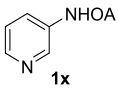
N^1, N^1-diisopropyl-N^2-(naphthalen-2-yl) oxalamide



1w

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.86g, 78% yield). Mp: 163-166 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.40 (s, 1H), 8.36 (s, 1H), 7.87 – 7.73 (m, 3H), 7.58 – 7.36 (m,3H), 5.04 (hept, *J* = 6.7 Hz, 1H), 3.61 (hept, *J* = 6.8 Hz, 1H), 1.50 (d, *J* = 6.8 Hz, 6H), 1.31 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.3, 160.4, 134.6, 133.7, 130.8, 128.8, 127.8, 127.5, 125.5, 125.2, 119.6, 116.7, 49.8, 47.0, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{23}N_2O_2$ $[M+H]^+$: 299.1754, found: 299.1751.

N^1, N^1-diisopropyl-N^2-(pyridin-3-yl) oxalamide

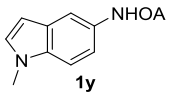


1x

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (1.46g, 73% yield). Mp: 149-152 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.75 (s, 1H), 8.76 (d, *J* = 2.6 Hz, 1H), 8.36 (dd, *J* = 4.8, 1.5 Hz, 1H), 8.15 (ddd, *J* = 8.4, 2.6, 1.5 Hz, 1H), 7.26 (dd, *J* = 8.4, 4.7 Hz, 1H), 4.79 (hept, *J* = 6.6 Hz, 1H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.44 (d, *J* = 6.8 Hz, 6H), 1.26 (d,

J = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.2, 160.9, 145.7, 141.4, 134.3, 125.9, 123.6, 50.0, 46.9, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{13}H_{20}N_3O_2$ $[M+H]^+$: 250.1550, found: 250.1551.

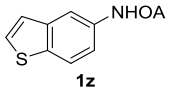
N^1, N^1-diisopropyl-N^2-(1-methyl-1H-indol-5-yl) oxalamide



1y

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.05 g, 85% yield). Mp: 124-127 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.14 (s, 1H), 8.02 (d, *J* = 1.9 Hz, 1H), 7.35 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.28 (s, 1H), 7.06 (d, *J* = 3.0 Hz, 1H), 6.46 (dd, *J* = 3.1, 0.8 Hz, 1H), 5.06 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.60 (hept, *J* = 6.8 Hz, 1H), 1.51 (d, *J* = 6.8 Hz, 6H), 1.31 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 160.2, 134.3, 129.7, 129.5, 128.4, 115.2, 112.2, 109.3, 100.1, 49.7, 46.8, 32.9, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{17}H_{24}N_3O_2$ $[M+H]^+$: 302.1863, found: 302.1861.

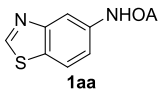
N^1-(benzo[b]thiophen-5-yl)-N^2, N^2-diisopropyloxalamide



1z

benzo[b]thiophen-5-amine was synthesized according to Ma's literature[5] in 49% yield and the title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.10g, 86% yield). Mp: 197-199 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (s, 1H), 8.29 (d, *J* = 2.0 Hz, 1H), 7.80 (d, *J* = 8.6 Hz, 1H), 7.45 (d, *J* = 5.4 Hz, 1H), 7.41 (dd, *J* = 8.6, 2.0 Hz, 1H), 7.29 (dd, *J* = 5.4, 0.7 Hz, 1H), 5.03 (hept, *J* = 6.7 Hz, 1H), 3.60 (hept, *J* = 6.8 Hz, 1H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.3, 160.3, 140.1, 135.9, 134.0, 127.6, 124.0, 122.8, 117.3, 114.3, 49.8, 47.0, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{21}N_2O_2S$ $[M+H]^+$: 305.1318, found: 305.1319.

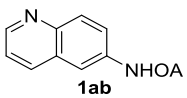
N^1-(benzo[d]thiazol-5-yl)-N^2, N^2-diisopropyloxalamide



1aa

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.13 g, 87% yield). Mp: 182-184 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.64 (s, 1H), 8.99 (s, 1H), 8.47 (d, *J* = 2.0 Hz, 1H), 7.87 (d, *J* = 8.7 Hz, 1H), 7.72 (dd, *J* = 8.7, 2.0 Hz, 1H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.59 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.9 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.6, 155.1, 153.7, 136.0, 129.6, 122.0, 118.6, 114.4, 50.0, 46.9, 20.89, 20.09. **HRMS**: (ESI-TOF) m/z calcd for $C_{15}H_{20}N_3O_2S$ $[M+H]^+$: 306.1271, found: 306.1257.

N^1, N^1-diisopropyl-N^2-(quinolin-6-yl) oxalamide

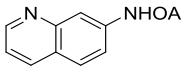


1ab

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as

a white solid (2.20 g, 92% yield). Mp: 122-125 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.73 (s, 1H), 8.82 (s, 1H), 8.42 (d, *J* = 2.4 Hz, 1H), 8.10 (dd, *J* = 8.4, 1.5 Hz, 1H), 8.04 (d, *J* = 9.0 Hz, 1H), 7.69 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.36 (dd, *J* = 8.3, 4.2 Hz, 1H), 4.93 (hept, *J* = 6.7 Hz, 1H), 3.60 (hept, *J* = 6.8 Hz, 1H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.4, 160.7, 149.6, 145.7, 135.9, 135.2, 130.3 128.7, 123.2, 121.6, 116.2, 50.0, 47.0, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{17}H_{22}N_3O_2$ $[M+H]^+$: 300.1707, found: 300.1704.

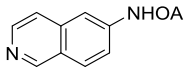
N^1, N^1-diisopropyl-N^2-(quinolin-6-yl) oxalamide



1ac

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.08 g, 87% yield). Mp = 159-163 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.66 (d, *J* = 11.7 Hz, 1H), 8.87 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.33 (s, 1H), 8.08 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.89 (dd, *J* = 8.8, 2.2 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.31 (dd, *J* = 8.3, 4.2 Hz, 1H), 4.94 (hept, *J* = 6.8 Hz, 1H), 3.59 (hept, *J* = 6.8 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.0, 160.7 151.8, 143.5, 138.6, 136.7, 128.8, 126.0, 120.9, 120.5, 114.5, 50.0, 47.1, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{17}H_{22}N_3O_2$ $[M+H]^+$: 300.1707, found: 300.1698.

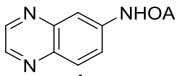
N^1, N^1-diisopropyl-N^2-(isoquinolin-6-yl) oxalamide



1ad

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.08g, 87% yield). Mp: 172-174 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 9.13 (s, 1H), 8.46 (d, *J* = 5.8 Hz, 1H), 8.38 (d, *J* = 2.0 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.62 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.57 (d, *J* = 5.8 Hz, 1H), 4.85 (hept, *J* = 6.7 Hz, 1H), 3.60 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.4, 161.0, 151.7, 143.4, 138.8, 136.6, 128.8, 125.9, 120.9, 120.4, 114.6, 50.1, 46.9, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{17}H_{22}N_3O_2$ $[M+H]^+$: 300.1707, found: 300.1712.

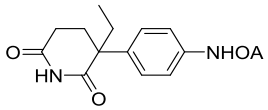
N^1, N^1-diisopropyl-N^2-(quinoxalin-6-yl) oxalamide



1ae

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (2.21g, 92% yield). Mp: 118-120 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.23 (s, 1H), 8.76 (d, *J* = 2.0 Hz, 1H), 8.70 (d, *J* = 1.9 Hz, 1H), 8.51 (t, *J* = 1.4 Hz, 1H), 8.05-7.93 (m, 2H), 4.72 (hept, *J* = 7.0 Hz, 1H), 3.57 (hept, *J* = 7.0 Hz, 1H), 1.44 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 161.1, 145.4, 143.8, 143.5, 140.3, 138.8, 130.0, 123.8, 117.5, 50.2, 46.8, 20.7, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{16}H_{21}N_4O_2$ $[M+H]^+$: 301.1659, found: 301.1654.

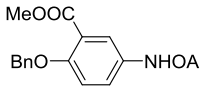
N^1-(4-(3-ethyl-2,6-dioxopiperidin-3-yl) phenyl)-N^2, N^2-diisopropyloxalamide



1af

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (1.16g, 75% yield). Mp: 199-121 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.58 (s, 1H), 8.66 (s, 1H), 7.61 (d, *J* = 8.7 Hz, 2H), 7.20 (d, *J* = 8.7 Hz, 2H), 4.73 (hept, *J* = 6.6 Hz, 1H), 3.56 (hept, *J* = 6.8 Hz, 1H), 2.77 – 2.48 (m, 1H), 2.47 – 2.28 (m, 2H), 2.19 (td, *J* = 14.0, 4.4 Hz, 1H), 2.01 (dq, *J* = 14.7, 7.4 Hz, 1H), 1.88 (dq, *J* = 14.5, 7.4 Hz, 1H), 1.45 (d, *J* = 6.8 Hz, 6H), 1.25 (d, *J* = 6.7 Hz, 6H), 0.84 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 175.4, 172.7, 162.9, 160.8, 136.8, 134.8, 125.8, 120.3, 50.6, 50.0, 46.7, 32.7, 29.2, 26.9, 20.8, 20.0, 9.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{30}N_3O_4$ [M+H] $^{+}$: 388.2231, found: 388.2229.

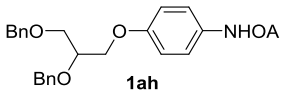
methyl 2-(benzyloxy)-5-(2-(diisopropylamino)-2-oxoacetamido) benzoate



1ag

The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a white solid (1.51g, 46%). Mp: 143-145 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.33 (s, 1H), 8.06 (d, *J* = 2.8 Hz, 1H), 7.73 (d, *J* = 2.8 Hz, 1H), 7.54 – 7.43 (m, 2H), 7.42 – 7.34 (m, 2H), 7.32 – 7.27 (m, 1H), 6.98 (d, *J* = 9.0 Hz, 1H), 5.16 (s, 2H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.88 (s, 3H), 3.57 (hept, *J* = 6.8 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 165.9, 162.7, 160.5, 155.0, 136.6, 130.5, 128.4, 127.7, 126.8, 125.1, 123.3, 120.9, 114.7, 71.0, 52.0, 49.9, 46.8, 20.8, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{23}H_{29}N_2O_5$ [M+H] $^{+}$: 413.2071, found: 413.2068.

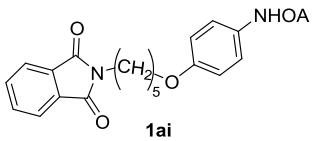
N^{1}-(4-(2,3-bis(benzyloxy) propoxy) phenyl)-N^{2}, N^{2}-diisopropyloxalamide



1ah

4-(2,3-bis(benzyloxy) propoxy) aniline was synthesized according to Ma's literature[5] in 48% yield and the title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a colourless oil (456 mg, 88% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.01 (s, 1H), 7.50 (d, *J* = 9.0 Hz, 2H), 7.41 – 7.26 (m, 10H), 6.86 (d, *J* = 9.1 Hz, 2H), 5.04 (hept, *J* = 6.7 Hz, 1H), 4.73 (s, 2H), 4.56 (s, 2H), 4.12 (dd, *J* = 9.9, 4.8 Hz, 1H), 4.07 (dd, *J* = 9.9, 5.5 Hz, 1H), 3.96 (p, *J* = 5.1 Hz, 1H), 3.78 – 3.63 (m, 2H), 3.59 (hept, *J* = 6.8 Hz, 1H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.3, 159.9, 155.9, 138.3, 138.1, 130.5, 128.4, 128.3, 127.8, 127.6, 127.6, 121.3, 114.9, 76.3, 73.4, 72.4, 69.7, 68.3, 49.7, 46.9, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{31}H_{39}N_2O_5$ [M+H] $^{+}$: 519.2853, found: 519.2857.

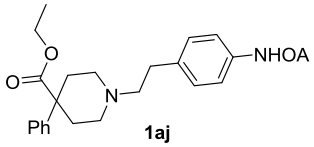
N^{1}-(4-((5-(1,3-dioxoisoindolin-2-yl) pentyl) oxy) phenyl)-N^{2}, N^{2}-diisopropyloxalamide



1ai

The title compound was purified by flash chromatography (PE/EA = 4/1) to afford the product as a colourless oil (315 mg, 85% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.17 (s, 1H), 7.81 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.68 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.49 (d, *J* = 9.0 Hz, 2H), 6.81 (d, *J* = 9.0 Hz, 2H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.90 (t, *J* = 6.4 Hz, 2H), 3.69 (t, *J* = 7.2 Hz, 2H), 3.55 (hept, *J* = 6.7 Hz, 1H), 1.76 (dp, *J* = 31.2, 7.0 Hz, 4H), 1.54 – 1.46 (m, 2H), 1.44 (d, *J* = 6.8 Hz, 6H), 1.25 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 168.3, 162.7, 160.1, 156.0, 133.8, 132.0, 130.3, 123.1, 121.3, 114.7, 67.7, 49.7, 46.7, 37.7, 28.7, 28.2, 23.3, 20.8, 20.0. **HRMS**: (ESI-TOF) m/z calcd for C_{27} H_{34} N_3 O_5 $[M+H]^+$: 480.2493, found: 480.2481.

ethyl 1-(4-(2-(diisopropylamino)-2-oxoacetamido) phenethyl)-4-phenylpiperidine-4-carboxylate

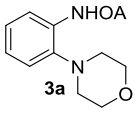


1aj

The title compound was purified by flash chromatography (PE/EA = 2/1) to afford the product as as a white solid (414 mg，84% yield). Mp: 86-88 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.55 (d, *J* = 8.2 Hz, 2H), 7.41 (d, *J* = 7.3 Hz, 2H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.26 (t, *J* = 7.3 Hz, 1H), 7.18 (d, *J* = 8.2 Hz, 2H), 4.92 (hept, *J* = 6.7 Hz, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.59 (hept, *J* = 6.8 Hz, 1H), 2.97 (d, *J* = 11.8 Hz, 2H), 2.86 – 2.74 (m, 2H), 2.68 – 2.52 (m, 4H), 2.28 (t, *J* = 11.7 Hz, 2H), 2.03 (t, *J* = 11.7 Hz, 2H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H), 1.20 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 174.3, 162.6, 160.3, 142.8, 136.7, 135.3, 129.1, 128.4, 126.9, 125.7, 119.9, 60.77, 60.4, 51.4, 49.8, 49.1, 46.8, 33.7, 33.0, 20.8, 20.0, 14.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{30}H_{42}N_3O_4$ $[M+H]^+$: 508.317, found: 508.3162.

3.2 Characterization of products

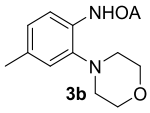
N^1, N^1-diisopropyl-N^2-(2-morpholinophenyl) oxalamide



3a

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a colorless oil (19.2 mg, 58% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.81 (s, 1H), 8.30 (dd, *J* = 8.0, 1.9 Hz, 1H), 7.20 – 7.04 (m, 3H), 4.95 (hept, *J* = 6.7 Hz, 1H), 4.02 – 3.76 (m, 4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.08 – 2.73 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.3, 160.4, 141.9, 132.3, 125.2, 124.7, 120.3, 119.7, 67.4, 52.5, 49.6, 46.8, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{28}N_3O_3$ $[M+H]^+$: 334.2125, found: 334.2118.

N^1, N^1-diisopropyl-N^2-(4-methyl-2-morpholinophenyl) oxalamide

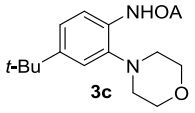


3b

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (24.2 mg, 70% yield). Mp: 81-83 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.70 (s, 1H), 8.16 (d, *J* = 8.8 Hz, 1H), 7.01 – 6.86 (m, 2H), 4.95 (hept, *J* = 6.7 Hz, 1H), 4.03 – 3.78 (m, 4H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.94 – 2.80 (m, 4H), 2.32 (s, 3H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7

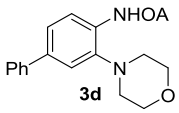
Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.3, 141.9, 134.4, 129.7, 125.6, 120.9, 119.6, 67.4, 52.5, 49.6, 46.8, 21.2, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_3$ $[M+H]^+$: 348.2282, found: 348.2285.

N^1-(4-(tert-butyl)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



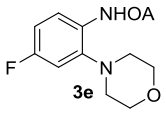
The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (24.5 mg, 63% yield). Mp: 122-124 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.68 (s, 1H), 8.20 (d, *J* = 9.1 Hz, 1H), 7.22 – 7.05 (m, 2H), 4.93 (hept, *J* = 6.7 Hz, 1H), 4.22 – 3.82 (m, 4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.02 – 2.74 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.31 (s, 9H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.3, 147.9, 141.6, 129.7, 122.0, 119.3, 117.1, 67.5, 52.5, 49.6, 46.7, 34.7, 31.4, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{22}H_{36}N_3O_3$ $[M+H]^+$: 390.2751, found: 390.2755.

N^1, N^1-diisopropyl-N^2-(3-morpholino-[1,1'-biphenyl]-4-yl) oxalamide



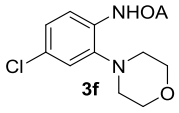
The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (23.6 mg, 58% yield). Mp: 110-111 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.86 (s, 1H), 8.38 (d, *J* = 8.2 Hz, 1H), 7.63 – 7.54 (m, 2H), 7.49 – 7.29 (m, 5H), 5.00 (hept, *J* = 6.7 Hz, 1H), 4.04 – 3.86 (m, 4H), 3.60 (hept, *J* = 6.9 Hz, 1H), 3.06 – 2.65 (m, 4H), 1.49 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.2, 160.3, 142.3, 140.6, 137.7, 131.5, 128.8, 127.3, 125.9, 123.8, 120.0, 119.1, 67.4, 52.5, 49.6, 46.9, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{24}H_{32}N_3O_3$ $[M+H]^+$: 410.2438, found: 410.2433.

N^1-(4-fluoro-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



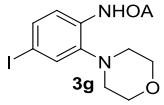
The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a colorless oil (21.8 mg, 62% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.62 (s, 1H), 8.88 – 8.06 (m, 1H), 7.01 – 6.69 (m, 2H), 4.99 (hept, *J* = 6.7 Hz, 1H), 4.09 – 3.86 (m, 4H), 3.58 (hept, *J* = 6.9 Hz, 1H), 3.01 – 2.65 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.0, 160.2, 159.6 (d, *J* = 245.1 Hz), 143.7 (d, *J* = 7.6 Hz), 128.3, 121.0 (d, *J* = 8.7 Hz), 111.3(d, *J* = 21.8 Hz), 107.8 (d, *J* = 23.2 Hz), 67.2, 52.3, 49.6, 46.9, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{27}FN_3O_3$ $[M+H]^+$: 352.2031, found: 352.2039. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -115.70.

N^1-(4-chloro-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (14.7 mg, 40% yield). Mp: 100-102 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.76 (s, 1H), 8.25 (d, *J* = 8.4 Hz, 1H), 7.17 – 7.01 (m, 2H), 5.00 (hept, *J* = 6.6 Hz, 1H), 3.98 – 3.85 (m, 4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.08 – 2.77 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.8, 160.2, 143.1, 130.9, 129.6, 125.1, 120.9, 120.7, 67.2, 52.3, 49.6, 47.0, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{27}ClN_3O_3[M+H]^+$: 368.1735, found: 368.1734.

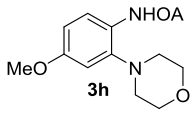
N^1-(4-iodo-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3g

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a colorless oil (25 mg, 55% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.79 (s, 1H), 8.06(d, *J* = 8.6 Hz, 1H), 7.46 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.41 (d, *J* = 2.0 Hz, 1H), 4.99 (hept, *J* = 6.7 Hz, 1H), 3.99 – 3.75 (m,4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 2.98 – 2.78 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.8, 160.2, 143.3, 134.2, 132.2, 129.7, 121.3, 87.8, 67.2, 52.4, 49.6, 47.0, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{18}H_{27}IN_3O_3[M+H]^+$: 460.1092, found: 460.1090.

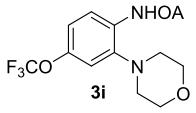
N^1, N^1-diisopropyl-N^2-(4-methoxy-2-morpholinophenyl) oxalamide



3h

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (29.8 mg, 82% yield). Mp: 122-123 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.54 (s, 1H), 8.18 (d, *J* = 8.9 Hz, 1H), 6.82 – 6.55 (m, 2H), 4.97 (hept, *J* = 6.6 Hz, 1H), 4.13 – 3.84 (m, 4H), 3.78 (s, 3H), 3.56 (hept, *J* = 6.7 Hz, 1H), 2.87 (t, *J* = 4.5 Hz, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.0, 156.7, 143.5, 125.5, 120.8, 108.7, 107.2, 67.3, 55.4, 52.3, 49.5, 46.8, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_4$ $[M+H]^+$: 364.2231, found: 364.2230..

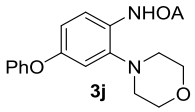
N^1, N^1-diisopropyl-N^2-(2-morpholino-4-(trifluoromethoxy) phenyl) oxalamide



3i

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (16.7 mg, 40% yield). Mp: 139-141 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.75 (s, 1H), 8.32 (d, *J* = 8.9 Hz, 1H), 7.06 – 6.91 (m, 2H), 5.00 (hept, *J* = 6.7 Hz, 1H), 3.99 – 3.88 (m, 4H), 3.59 (hept, *J* = 6.8 Hz, 1H), 3.07 – 2.48 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 161.8, 160.3, 145.6,143.3, 130.9, 120.5, 120.4 (q, *J* = 257.2 Hz), 117.4, 113.6, 67.2, 52.3, 49.6, 47.0, 21.0, 20.0. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -58.00. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{27}F_3N_3O_4$ $[M+H]^+$: 418.1948, found: 418.1945.

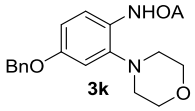
N^1, N^1-diisopropyl-N^2-(2-morpholino-4-phenoxyphenyl) oxalamide



3j

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (35.3 mg, 83% yield). Mp: 90-92 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.64 (s, 1H), 8.23 (d, *J* = 8.8 Hz, 1H), 7.39 – 7.29 (m, 2H), 7.12 – 7.06 (m, 1H), 6.99 (dt, *J* = 7.8, 1.1 Hz, 2H), 6.86 (d, *J* = 2.6 Hz, 1H), 6.79 (dd, *J* = 8.9, 2.7 Hz, 1H), 4.99 (hept, *J* = 6.6 Hz, 1H), 4.02 – 3.86 (m, 4H), 3.59 (hept, *J* = 6.8 Hz, 1H), 3.17 – 2.68 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.2, 160.2, 157.3, 153.7, 143.7, 129.7, 127.8, 123.1, 120.9, 118.3, 115.2, 111.7, 67.2, 52.3, 49.6, 46.8, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{24}H_{32}N_3O_4$ $[M+H]^+$: 426.2387, found: 426.2381.

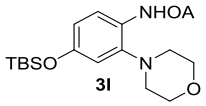
N^1-(4-(benzyloxy)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3k

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a colorless oil (35.1 mg, 80% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.55 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.41 – 7.37 (m, 2H), 7.35 – 7.31 (m, 1H), 6.79 (d, *J* = 2.7 Hz, 1H), 6.76 (dd, *J* = 8.8, 2.7 Hz, 1H), 5.04 (s, 2H), 4.98 (hept, *J* = 6.7 Hz, 1H), 3.99 – 3.86 (m, 4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 2.93 – 2.79 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.4, 160.0, 155.9, 143.5, 136.7, 128.6, 128.0, 127.6, 125.7, 120.8, 109.7, 108.3, 70.3, 67.3, 52.4, 49.6, 46.8, 20.9, 20.00. **HRMS**: (ESI-TOF) m/z calcd for $C_{25}H_{34}N_3O_4$ $[M+H]^+$: 440.2544, found: 440.2539.

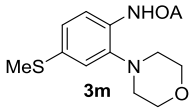
N^1-(4-((tert-butyldimethylsilyl) oxy)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3l

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (34.7 mg, 75% yield). Mp: 139-141 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.54 (s, 1H), 8.43 – 7.96 (m, 1H), 6.72 – 6.42 (m, 2H), 4.96 (hept, *J* = 6.7 Hz, 1H), 3.96 – 3.85 (m, 4H), 3.57 (hept, *J* = 6.8 Hz, 1H), 3.08 – 2.70 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H), 0.97 (s, 9H), 0.18 (s, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.1, 152.7, 143.3, 125.1, 120.7, 116.1, 112.4, 67.3, 52.4, 49.6, 46.8, 25.7, 20.9, 20.0, 18.2, -4.4. **HRMS**: (ESI-TOF) m/z calcd for $C_{24}H_{42}N_3O_4Si$ $[M+H]^+$: 464.2939, found: 464.2933.

N^1, N^1-diisopropyl-N^2-(4-(methylthio)-2-morpholinophenyl) oxalamide

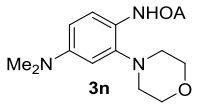


3m

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (26.8 mg, 71% yield). Mp: 123-125 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.73 (s, 1H), 8.24 (d, *J* = 8.4 Hz, 1H), 7.11 – 7.01 (m, 2H), 4.98 (hept, *J* = 6.7 Hz, 1H), 4.05 – 3.81 (m, 4H),

3.58 (hept, *J* = 6.8 Hz, 1H), 3.05 – 2.63 (m, 4H), 2.48 (s, 3H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.1, 160.1, 142.4, 134.1, 129.8, 123.6, 120.2, 119.6, 67.3, 52.4, 49.6, 46.9, 20.9, 20.0, 16.6. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_3S$ $[M+H]^+$: m/z 380.2002, found: 380.1992.

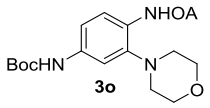
N^1-(4-(dimethylamino)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3n

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (28.1 mg, 75% yield). Mp: 163-165 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.50 (s, 1H), 8.13 (d, *J* = 9.6 Hz, 1H), 6.63 – 6.21 (m, 2H), 5.00 (hept, *J* = 6.7 Hz, 1H), 4.13 – 3.77 (m, 4H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.93 (s, 6H), 2.93 – 2.85 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.7, 159.8, 148.3, 143.2, 122.3, 121.0, 108.9, 104.8, 67.4, 52.5, 49.5, 46.7, 40.9, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{33}N_4O_3$ $[M+H]^+$: m/z 377.2547, found: 377.2536.

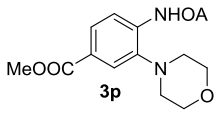
tert-butyl (4-(2-(diisopropylamino)-2-oxoacetamido)-3-morpholinophenyl) carbamate



3o

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (26.0 mg, 58% yield). Mp: 164-166 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.65 (s, 1H), 8.18 (d, *J* = 8.7 Hz, 1H), 7.43 (br, 1H), 6.92 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.56 (s, 1H), 4.97 (hept, *J* = 6.7 Hz, 1H), 4.05 – 3.83 (m, 4H), 3.57 (hept, *J* = 6.7 Hz, 1H), 3.03 – 2.71 (m, 4H), 1.51 (s, 9H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 160.1, 152.7, 142.7, 135.3, 127.4, 120.2, 114.7, 111.0, 80.6, 67.3, 52.4, 49.6, 46.8, 28.3, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{23}H_{37}N_4O_5$ $[M+H]^+$: m/z 449.2758, found: 449.2750.

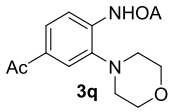
methyl 4-(2-(diisopropylamino)-2-oxoacetamido)-3-morpholinobenzoate



3p

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (15.6 mg, 40% yield). Mp: 148-150 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.07 (s, 1H), 8.39 (d, *J* = 8.3 Hz, 1H), 8.07 – 7.41 (m, 2H), 4.98 (hept, *J* = 6.7 Hz, 1H), 3.98 – 3.91 (m, 4H), 3.90 (s, 3H), 3.59 (hept, *J* = 6.8 Hz, 1H), 3.14 – 2.68 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 166.5, 161.6, 160.4, 141.6, 136.6, 127.2, 126.0, 121.8, 118.8, 67.3, 52.4, 52.1, 49.6, 47.0, 20.9, 19.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{30}N_3O_5$ $[M+H]^+$: m/z 392.2180, found: 392.2173.

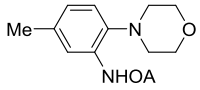
N^1-(4-acetyl-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3q

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (13.1 mg, 35% yield). mp: 140-142 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 8.40 (d, *J* = 8.3 Hz, 1H), 8.10 – 7.66 (m, 2H), 4.99 (hept, *J* = 6.5 Hz, 1H), 3.96 – 3.92 (m, 4H), 3.91 (s,3H), 3.60 (hept, *J* = 6.7 Hz, 1H), 3.01 – 2.90 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 166.6, 161.7, 160.4, 141.6, 136.6, 127.2, 126.0, 121.8, 118.8, 67.3, 52.4, 52.1, 49.6, 47.0, 20.9, 19.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{30}N_3O_4$ $[M+H]^+$: m/z 376.2231, found: 376.2234.

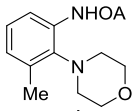
N^1, N^1-diisopropyl-N^2-(5-methyl-2-morpholinophenyl) oxalamide



3r

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (16.7 mg, 48% yield). mp: 144-146 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.84 (s, 1H), 8.16 (s, 1H), 7.06 (d, *J* = 8.1 Hz, 1H), 6.97 – 6.86 (m, 1H), 4.95 (hept, *J* = 6.6 Hz, 1H), 3.96 – 3.82 (m, 4H), 3.58 (hept, *J* = 6.9 Hz, 1H), 3.03 – 2.72 (m, 4H), 2.33 (s, 3H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.4, 139.4, 135.2, 132.2, 125.2, 120.2, 120.1, 67.5, 52.6, 49.6, 46.8, 21.3, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_3$ $[M+H]^+$: m/z 348.2282, found: 348.2289..

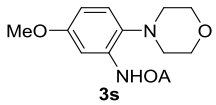
N^1, N^1-diisopropyl-N^2-(3-methyl-2-morpholinophenyl) oxalamide



3r'

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (4.2 mg, 12% yield). Mp: 150-153 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.50 (s, 1H), 8.24 (d, *J* = 8.1 Hz, 1H), 7.11 (t, *J* = 7.9 Hz, 1H), 6.86 (d, *J* = 7.6 Hz, 1H), 4.95 (hept, *J* = 6.2 Hz, 1H), 4.00 – 3.80 (m, 4H), 3.64 – 3.36 (m, 3H), 2.72 (d, *J* = 11.8 Hz, 2H), 2.41 (s, 3H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.5, 137.6, 136.5, 135.6, 127.2, 125.7, 116.8, 68.2, 50.0, 49.5, 46.8, 21.0, 20.0, 19.6. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_3$ $[M+H]^+$: m/z 348.2282, found: 348.2287.

N^1, N^1-diisopropyl-N^2-(5-methoxy-2-morpholinophenyl) oxalamide

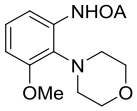


3s

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (18.2 mg, 50% yield). Mp: 91-93 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.01 (d, *J* = 2.9 Hz, 1H), 7.10 (d, *J* = 8.8 Hz, 1H), 6.65 (dd, *J* = 8.7, 2.9 Hz, 1H), 4.94 (hept, *J* = 6.7 Hz, 1H), 3.99 – 3.85 (m, 4H), 3.81 (s, 3H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.01 – 2.78 (m, 4H), 1.47 (d,

J = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 160.5, 157.2, 134.8, 133.7, 121.5, 110.2, 104.9, 67.6, 55.6, 52.9, 49.5, 46.8, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_4$ $[M+H]^+$: m/z 364.2231, found: 364.2226..

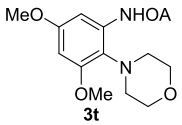
N^1, N^1-diisopropyl-N^2-(3-methoxy-2-morpholinophenyl) oxalamide



3s'

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (9.1 mg, 25% yield). Mp: 103-107 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.45 (s, 1H), 8.01 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.16 (t, *J* = 8.3 Hz, 1H), 6.66 (dd, *J* = 8.4, 1.2 Hz, 1H), 4.86 (hept, *J* = 6.8 Hz, 1H), δ 3.95 – 3.75 (m, 4H), 3.84 (s, 3H)., 3.71 – 3.41 (m, 3H), 2.59 (d, *J* = 11.6 Hz, 2H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.8, 158.3, 136.3, 127.8, 127.4, 111.4, 107.5, 68.2, 55.2, 50.1, 49.6, 46.7, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_4$ $[M+H]^+$: m/z 364.2231, found: 364.2225..

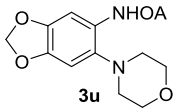
N^1-(3,5-dimethoxy-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3t

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (33.4 mg, 85% yield). Mp: 119-121 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.47 (s, 1H), 7.68 (d, *J* = 2.7 Hz, 1H), 6.22 (d, *J* = 2.7 Hz, 1H), 4.85 (hept, *J* = 6.7 Hz, 1H), 3.89 (dd, *J* = 11.0, 2.9 Hz, 2H), 3.81 (s, 3H), 3.80 (s, 3H), 3.78 – 3.71 (m, 2H), 3.63 – 3.48 (m, 3H), 2.54 (d, *J* = 11.7 Hz, 2H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.8, 158.8, 158.8, 136.6, 121.2, 95.5, 95.2, 68.3, 55.6, 55.1, 50.4, 49.5, 46.7, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{32}N_3O_5$ $[M+H]^+$: m/z 394.2336, found: 394.2340.

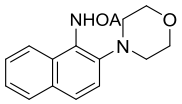
N^1, N^1-diisopropyl-N^2-(6-morpholinobenzo[d] [1,3] dioxol-5-yl) oxalamide



3u

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (23.9 mg, 71% yield). Mp: 158-161 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.87 (s, 1H), 7.94 (s, 1H), 6.74 (s, 1H), 5.93 (s, 2H), 4.95 (hept, *J* = 6.7 Hz, 1H), 4.09 – 3.79 (m, 4H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.99 – 2.57 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 160.0, 144.5, 144.0, 135.7, 125.9, 102.0, 100.3, 100.3, 67.4, 52.9, 49.5, 46.8, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{28}N_3O_5$ $[M+H]^+$: m/z 378.2023, found: 378.2020.

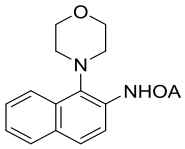
N^1, N^1-diisopropyl-N^2-(2-morpholinonaphthalen-1-yl) oxalamide



3v

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (19.9 mg, 52% yield). Mp: 165-166 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.05 (s, 1H), 7.91 – 7.78 (m, 2H), 7.72 (d, *J* = 8.5 Hz, 1H), 7.51 (ddd, *J* = 8.3, 6.7, 1.3 Hz, 1H), 7.42 (ddd, *J* = 8.1, 6.7, 1.2 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 4.99 (hept, *J* = 6.6 Hz, 1H), 4.00 – 3.82 (m, 4H), 3.63 (hept, *J* = 7.0 Hz, 1H), 3.12 – 2.87 (m, 4H), 1.53 (d, *J* = 6.8 Hz, 6H), 1.33 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.7, 162.2, 144.4, 131.0, 129.3, 128.4, 128.1, 125.6, 125.2, 125.0, 123.5, 118.7, 67.4, 52.2, 49.9, 46.8, 21.0, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{22}H_{30}N_3O_3$ $[M+H]^+$: m/z 384.2282, found: 384.2273.

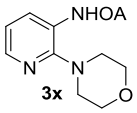
N^1, N^1-diisopropyl-N^2-(1-morpholinonaphthalen-2-yl) oxalamide



3w

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (32.6 mg，85% yield). Mp: 163-167 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 10.75 (s, 1H), 8.62 (d, *J* = 9.0 Hz, 1H), 8.30 – 8.02 (m, 1H), 7.85 (d, *J* = 1.2 Hz, 1H), 7.75 (d, *J* = 9.0 Hz, 1H), 7.49 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.40 (ddd, *J* = 7.9, 6.8, 1.1 Hz, 1H), 5.04 (hept, *J* = 6.7 Hz, 1H), 4.11 – 3.94 (m, 4H), 3.87 (ddd, *J* = 13.6, 10.4, 3.5 Hz, 2H), 3.61 (hept, *J* = 6.9 Hz, 1H), 3.03 – 2.70 (m, 2H), 1.51 (d, *J* = 6.8 Hz, 6H), 1.31 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.7, 133.9, 133.3, 131.9, 131.7, 129.2, 127.6, 125.1, 124.4, 123.4, 118.6, 68.5, 50.9, 49.5, 46.9, 20.99, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{22}H_{30}N_3O_3$ $[M+H]^+$: m/z 384.2282, found: 384.2275.

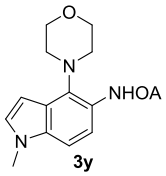
N^1, N^1-diisopropyl-N^2-(2-morpholinopyridin-3-yl) oxalamide



3x

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (21.4 mg, 64% yield). Mp: 109-111 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.45 (s, 1H), 8.50 (dd, *J* = 8.0, 1.7 Hz, 1H), 8.12 (dd, *J* = 4.8, 1.7 Hz, 1H), 7.05 (dd, *J* = 8.0, 4.9 Hz, 1H), 5.02 (hept, *J* = 6.6 Hz, 1H), 4.04 – 3.85 (m, 4H), 3.59 (hept, *J* = 6.9 Hz, 1H), 3.17 – 3.04 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 161.5, 160.6, 153.3, 143.4, 127.4, 125.5, 119.8, 67.0, 50.4, 49.6, 47.1, 20.9, 20.0. HRMS: (ESI-TOF) m/z calcd for $C_{17}H_{27}N_4O_3$ $[M+H]^+$: m/z 335.2078, found: 335.2073.

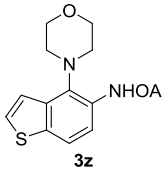
N^1, N^1-diisopropyl-N^2-(1-methyl-4-morpholino-1H-indol-5-yl) oxalamide



3y

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (32.0 mg, 83% yield). Mp: 146-148 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.22 (s, 1H), 8.33 (d, *J* = 8.9 Hz, 1H), 7.17 (d, *J* = 8.9 Hz, 1H), 7.05 (d, *J* = 3.2 Hz, 1H), 6.66 (dd, *J* = 3.2, 0.9 Hz, 1H), 4.96 (hept, *J* = 6.7 Hz, 1H), 3.95 (t, *J* = 4.5 Hz, 4H), 3.78 (s, 3H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.23 (br, 4H), 1.50 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz,) δ 163.1, 160.4, 135.4, 132.1, 129.0, 125.7, 124.0, 114.2, 107.1, 99.4, 68.1, 51.5, 49.6, 46.7, 33.0, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{31}N_4O_3$ $[M+H]^+$: m/z 387.2391, found: 387.2381.

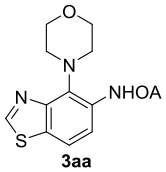
N^1, N^1-diisopropyl-N^2-(4-morpholinobenzo[b]thiophen-5-yl) oxalamide



3z

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (33.0 mg, 85% yield). Mp: 120-122 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.53 (s,1H), 8.49 (d, *J* = 8.8 Hz, 1H), 7.74 (d, *J* = 8.8 Hz, 1H), 7.61 (dd, *J* = 5.6, 0.8 Hz, 1H), 7.49 (d, *J* = 5.6 Hz, 1H), 4.99 (hept, *J* = 6.6 Hz, 1H), 4.13 – 3.83 (m, 4H), δ 3.74 – 3.48 (m, 3H), 2.82 (d, *J* = 11.7 Hz, 2H), 1.50 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.5, 137.1, 136.5, 134.1, 131.9, 127.4, 121.2, 121.0, 116.48, 7.1, 51.3, 49.6, 46.8, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{28}N_3O_3S$ $[M+H]^+$: m/z 390.1846, found: 390.1837.

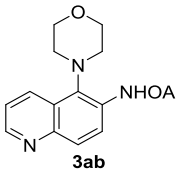
N^1, N^1-diisopropyl-N^2-(4-morpholinobenzo[d]thiazol-5-yl) oxalamide



3aa

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (39.0 mg, 90% yield). Mp: 183-186 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.49 (s, 1H), 8.97 (s, 1H), 8.58 (d, *J* = 8.8 Hz, 1H), 7.79 (d, *J* = 8.8 Hz, 1H), 4.94 (hept, *J* = 6.9 Hz, 1H), 3.96 (t, *J* = 4.6 Hz, 4H), 3.60 (h, *J* = 6.9 Hz, 1H), 3.38 (br, 4H), 1.50 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.7, 153.5, 150.5, 134.2, 133.0, 130.7, 119.6, 117.5, 68.0, 51.8, 49.6, 46.9, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{27}N_4O_3S$ $[M+H]^+$: m/z 391.1798, found: 391.1792.

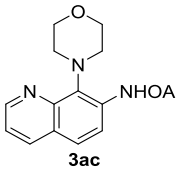
N^1, N^1-diisopropyl-N^2-(5-morpholinoquinolin-6-yl) oxalamide



3ab

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (33.8 mg, 88% yield), mp: 133-136 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.66 (s, 1H), 9.07 – 8.70 (m, 2H), 8.57 – 8.26 (m, 1H), 8.01 (d, *J* = 9.3 Hz, 1H), 7.38 (dd, *J* = 8.6, 4.2 Hz, 1H), 5.05 (hept, *J* = 6.6 Hz, 1H), 4.06 – 3.91 (m, 4H), 3.81 – 3.69 (m, 2H), 3.60 (hept, *J* = 6.8 Hz, 1H), 2.92 (d, *J* = 11.9 Hz, 2H), 1.49 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.0, 160.6, 148.8, 146.2, 134.2, 133.0, 131.5, 129.1, 127.1, 122.0, 120.8, 68.3, 51.2, 49.6, 47.0, 20.9, 19.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{29}N_4O_3$ $[M+H]^+$: m/z 385.2234, found: 385.2230.

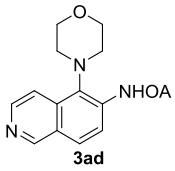
N^1, N^1-diisopropyl-N^2-(8-morpholinoquinolin-7-yl) oxalamide



3ac

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (32.6 mg, 85% yield). Mp: 122-124 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.85 (s, 1H), 8.86 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.72 (d, *J* = 8.9 Hz, 1H), 8.09 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.68 (d, *J* = 8.9 Hz, 1H), 7.29 (dd, *J* = 8.2, 4.2 Hz, 1H), 4.91 (hept, *J* = 6.7 Hz, 1H), 4.33 (br, 2H), 3.96 (d, *J* = 23.6 Hz, 4H), 3.60 (hept, *J* = 6.8 Hz, 1H), 2.73 (br, 2H), 1.51 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 161.1, 149.3, 146.8, 136.4, 136.2, 134.5, 125.7, 125.1, 119.8, 118.9, 68.5, 51.3, 49.7, 46.8, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{29}N_4O_3$ $[M+H]^+$: m/z 385.2234, found: 385.2228.

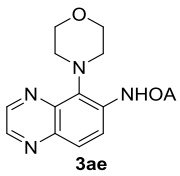
N^1, N^1-diisopropyl-N^2-(5-morpholinoisoquinolin-6-yl) oxalamide



3ad

The title compound was purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (31.1 mg, 81% yield). Mp: 193-194 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.87 (s, 1H), 9.24 (br, 1H), 8.75 (d, *J* = 8.9 Hz, 1H), 8.51 (br, 1H), 7.94-7.82 (m, , 2H), 5.02 (hept, *J* = 6.7 Hz, 1H), 4.09 – 3.90 (m, 4H), 3.82 (td, *J* = 11.2, 3.4 Hz, 2H), 3.60 (hept, *J* = 6.8 Hz, 1H), 2.85 (d, *J* = 11.7 Hz, 2H), 1.49 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.8, 160.7, 153.5, 142.9, 137.7, 134.3, 131.8, 127.6, 119.7, 68.3, 50.9, 49.6, 47.0, 20.9, 19.9. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{29}N_4O_3$ $[M+H]^+$: m/z 385.2234, found: 385.2229.

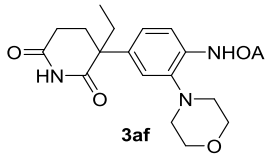
N^1, N^1-diisopropyl-N^2-(5-morpholinoquinoxalin-6-yl) oxalamide.



3ae

The title compound was o purified by flash chromatography (PE/acetone = 8/1) to afford the product as a white solid (31.6 mg, 82% yield). Mp: 178-181 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.82 (s, 1H), δ 8.96 (d, *J* = 9.2 Hz, 1H), 8.78 (d, *J* = 1.8 Hz, 1H), 8.74 (d, *J* = 1.8 Hz, 1H), 7.99 (d, *J* = 9.3 Hz, 1H), 4.96 (hept, *J* = 6.7 Hz, 1H), 4.20 (br, 2H), 3.97 (br, 4H), 3.62 (hept, *J* = 6.9 Hz, 1H), 2.76 (br, 2H), 1.51 (d, *J* = 6.8 Hz, 6H), 1.31 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.02, 160.97, 143.52, 143.23, 141.77, 140.56, 136.87, 134.17, 128.38, 122.27, 68.37, 51.57, 49.68, 46.97, 20.98, 19.99. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{28}N_5O_3$ $[M+H]^+$: m/z 386.2187, found: 386.2180.

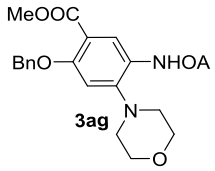
N^1-(4-(3-ethyl-2,6-dioxopiperidin-3-yl)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3af

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (18.7 mg, 40% yield). Mp: 192-194 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.75 (s, 1H), 8.28 (d, *J* = 8.4 Hz, 1H), 8.02 (s, 1H), 7.13 – 6.91 (m, 2H), 4.95 (hept, *J* = 6.6 Hz, 1H), 4.14 – 3.83 (m, 4H), 3.58 (hept, *J* = 6.8 Hz, 1H), 2.93 – 2.77 (m, 4H), 2.71 – 2.54 (m, 1H), 2.51 – 2.31 (m, 2H), 2.21 (td, *J* = 14.4, 13.8, 4.5 Hz, 1H), 2.03 (dq, *J* = 14.7, 7.3 Hz, 1H), 1.89 (dq, *J* = 14.6, 7.4 Hz, 1H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H), 0.85 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 174.9, 172.1, 162.0, 160.4, 142.6, 134.9, 131.6, 122.7, 120.0, 118.3, 67.3, 52.4, 50.8, 49.6, 46.9, 33.0, 29.3, 26.7, 20.9, 20.0, 9.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{25}H_{37}N_4O_5$ $[M+H]^+$: m/z 473.2758, found: 473.2752.

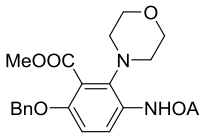
methyl 2-(benzyloxy)-5-(2-(diisopropylamino)-2-oxoacetamido)-4-morpholinobenzoate



3ag

The title compound was purified by flash chromatography (PE/acetone = 6/1) to afford the product as a white solid (17.2 mg, 35% yield). Mp: 124-126 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.42 (s, 1H), 8.67 (s, 1H), 7.55 – 7.45 (m, 2H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.33 – 7.28 (m, 1H), 6.70 (s, 1H), 5.17 (s, 2H), 3.92 – 3.89 (m, 4H), 3.89 (s, 3H), 2.96 – 2.80 (m, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.28 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 165.8, 161.9, 159.9, 155.9, 147.1, 136.6, 128.6, 127.9, 127.1, 124.9, 123.6, 116.5, 106.8, 71.5, 67.1, 52.0, 51.9, 49.6 47.0, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{27}H_{36}N_3O_6$ $[M+H]^+$: m/z 498.2599, found: 498.2603.

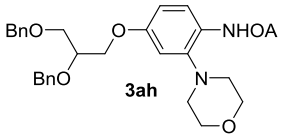
methyl 6-(benzyloxy)-3-(2-(diisopropylamino)-2-oxoacetamido)-2-morpholinobenzoate



3ag'

The title compound was purified by flash chromatography (PE/acetone = 6/1) to afford the product as a white solid (8.5 mg, 17% yield). Mp: 114-116 ºC. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.32 (d, *J* = 9.0 Hz, 1H), 7.39 – 7.27 (m, 5H), 6.79 (d, *J* = 9.0 Hz, 1H), 5.08 (s, 2H), 5.02 (hept, *J* = 6.8 Hz, 1H), 3.92 (s, 3H), 3.87 (br, 4H), 3.61 (hept, *J* = 6.8 Hz, 1H), 3.19 (br, 2H), 2.85 (br, 2H), 1.50 (d, *J* = 6.8 Hz, 6H), 1.30 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125MHz, $CDCl_3$) δ 167.5, 162.0, 160.1, 152.2, 138.5, 136.6, 128.5, 128.1, 127.9, 126.9, 121.9, 121.1, 109.9, 70.9, 67.9, 52.6, 51.0, 49.4, 46.9, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{27}H_{36}N_3O_6$ $[M+H]^+$: m/z 498.2599, found: 498.2603.

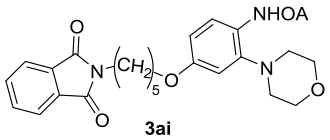
N^1-(4-(2,3-bis(benzyloxy) propoxy)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide



3ah

The title compound was purified by flash chromatography (PE/acetone = 6/1) to afford the product as a colourless oil (43.6 mg, 71% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.57 (s, 1H), 8.18 (d, *J* = 8.9 Hz, 1H), 7.42 – 7.26 (m, 10H), 6.71 (d, *J* = 2.7 Hz, 1H), 6.67 (dd, *J* = 8.9, 2.8 Hz, 1H), 5.01 (hept, *J* = 6.7 Hz, 1H), 4.74 (d, *J* = 2.3 Hz, 2H), 4.57 (s, 2H), 4.13 (dd, *J* = 9.9, 4.7 Hz, 1H), 4.08 (dd, *J* = 9.9, 5.4 Hz, 1H), 3.96 (p, *J* = 5.1 Hz, 1H), 3.93 – 3.88 (m, 4H), 3.75 – 3.66 (m, 2H), 3.58 (hept, *J* = 6.8 Hz, 1H), 3.04 – 2.57 (m, 4H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.29 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.4, 160.0, 155.9, 143.5, 138.3, 138.0, 128.4, 128.3, 127.8, 127.7, 127.6, 127.6, 125.7, 120.8, 109.7, 107.8, 76.4, 73.4, 72.4, 69.6, 68.3, 67.3, 52.4, 49.5, 46.8, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{35}H_{46}N_3O_6$ $[M+H]^+$: m/z 604.3381, found: 604.3388.

N^1-(4-((5-(1,3-dioxoisoindolin-2-yl) pentyl) oxy)-2-morpholinophenyl)-N^2, N^2-diisopropyloxalamide

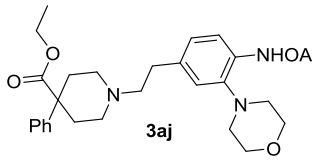


3ai

The title compound was purified by flash chromatography (PE/acetone = 5/1) to afford the product as a colourless oil (38.2 mg, 68% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.53 (s, 1H), 8.15 (d, *J* = 8.9 Hz, 1H), 7.83 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.70 (dd, *J* = 5.4, 3.0 Hz, 2H), 6.68 (d, *J* = 2.7 Hz, 1H), 6.63 (dd, *J* = 8.9, 2.7 Hz, 1H), 4.97 (hept, *J* = 6.8 Hz, 1H), 4.12 – 3.84 (m, 6H), 3.71 (t, *J* = 7.2 Hz, 2H), 3.56 (hept, *J* = 6.9 Hz, 1H), 2.87 (t, *J* = 4.5 Hz, 4H), 1.81 (p, *J* = 6.8 Hz, 2H), 1.75 (p, *J* = 7.2 Hz, 2H), 1.58 – 1.48 (m, 2H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 168.4, 162.4, 160.0, 156.2, 143.5, 133.9, 132.1, 125.4, 123.1, 120.8, 109.3, 107.8, 67.8, 67.3, 52.4, 49.5, 46.8, 37.8, 28.8, 28.3, 23.4, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{31}H_{41}N_4O_6$ $[M+H]^+$: m/z 565.3021, found: 565.3026.

ethyl

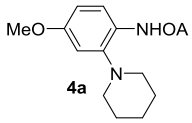
1-(4-(2-(diisopropylamino)-2-oxoacetamido)-3-morpholinophenethyl)-4-phenylpiperidine-4-carboxylate



3aj

The title compound was purified by flash chromatography (PE/acetone = 3/1) to afford the product as a colourless oil (24.9 mg, 43% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.70 (s, 1H), 8.19 (d, *J* = 8.7 Hz, 1H), 7.43 – 7.36 (m, 2H), 7.32 (dd, *J* = 8.6, 6.8 Hz, 2H), 7.26 – 7.21 (m, 1H), 7.02 – 6.93 (m, 3H), 4.95 (p, *J* = 6.7 Hz, 1H), 4.13 (q, *J* = 7.1 Hz, 2H), 3.95 – 3.84 (m, 4H), 3.57 (h, *J* = 7.0 Hz, 1H), 3.02 – 2.83 (m, 6H), 2.77 (dd, *J* = 10.1, 6.1 Hz, 2H), 2.64 – 2.44 (m, 4H), 2.33 – 2.09 (m, 2H), 2.07 – 1.90 (m, 2H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H), 1.18 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 174.4, 162.4, 160.3, 142.0, 138.1, 130.2, 128.5, 126.9, 125.8, 125.2, 120.5, 119.7, 67.4, 60.8, 60.6, 52.5, 51.5, 49.5, 49.3, 46.8, 33.9, 33.6, 20.9, 20.0, 14.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{34}H_{49}N_4O_5$ $[M+H]^+$: m/z 593.3697, found: 593.3704.

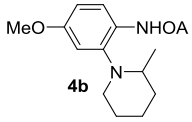
N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(piperidin-1-yl) phenyl) oxalamide



4a

The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (22.3 mg, 62% yield). Mp: 83-85 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.43 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 6.69 (d, *J* = 2.7 Hz, 1H), 6.63 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.88 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.57 (hept, *J* = 6.7 Hz, 1H), 2.86 – 2.76 (m, 4H), 1.76 (p, *J* = 5.7 Hz, 4H), 1.58 (h, *J* = 6.8, 6.2 Hz, 2H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.8, 160.3, 156.6, 145.2, 125.7, 120.4, 108.0, 107.3, 55.4, 53.6, 49.6, 46.6, 26.5, 24.1, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{32}N_3O_3$ $[M+H]^+$: m/z 362.2438, found: 362.2432.

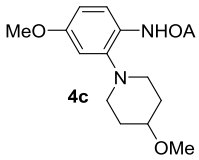
N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(2-methylpiperidin-1-yl) phenyl) oxalamide



4b

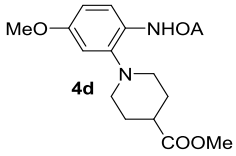
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (22.9 mg, 61% yield). Mp: 122-124 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.44 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 6.68 (d, *J* = 2.8 Hz, 1H), 6.63 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.89 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.56 (hept, *J* = 6.9 Hz, 1H), 3.09 – 2.80 (m, 2H), 2.54 (ddd, *J* = 11.4, 7.9, 6.1 Hz, 1H), 2.27 (t, *J* = 10.6 Hz, 1H), 2.01 – 1.63 (m, 5H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H), 0.92 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.8, 160.2, 156.6, 144.9, 125.7, 120.4, 108.1, 107.3, 60.5, 55.4, 53.2, 49.5, 46.6, 32.6, 31.7, 25.9, 20.9, 20.0, 19.3. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{34}N_3O_3$ $[M+H]^+$: m/z 376.2595, found: 376.2590.

N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(4-methoxypiperidin-1-yl) phenyl) oxalamide



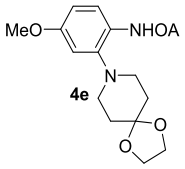
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (24.9 mg, 64% yield). Mp: 119-193 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.45 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 6.71 (d, *J* = 2.8 Hz, 1H), 6.64 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.92 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.56 (hept, *J* = 6.8 Hz, 1H), δ 3.44 – 3.34 (m, 1H), 3.38 (s, 3H), 3.03 (ddd, *J* = 11.0, 6.7, 3.6 Hz, 2H), 2.70 (ddd, *J* = 11.7, 8.5, 3.2 Hz, 2H), 2.06 (ddt, *J* = 13.4, 6.7, 3.2 Hz, 2H), 1.84 (dtd, *J* = 12.1, 8.1, 3.5 Hz, 2H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.6, 160.2, 156.5, 144.4, 125.6, 120.5, 108.3, 107.2, 55.7, 55.4, 49.9, 49.5, 46.7, 31.2, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{34}N_3O_4$ $[M+H]^+$: m/z 392.2544, found: 392.2541.

methyl 1-(2-(2-(diisopropylamino)-2-oxoacetamido)-5-methoxyphenyl) piperidine-4-carboxylate



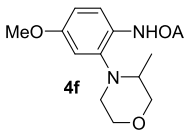
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (26.1mg, 62% yield). Mp:118-120 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.38 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 6.68 (d, *J* = 2.8 Hz, 1H), 6.64 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.91 (hept, *J* = 6.8 Hz, 1H), 3.78 (s, 3H), 3.72 (s, 3H), 3.57 (hept, *J* = 7.0 Hz, 1H), 3.13 – 2.96 (m, 2H), 2.78 – 2.62 (m, 2H), 2.46 (tt, *J* = 10.5, 4.3 Hz, 1H), 2.14 – 1.91 (m, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 175.2, 162.6, 160.2, 156.6, 144.4, 125.6, 120.7, 108.4, 107.3, 55.5, 52.0, 51.7, 49.6, 46.7, 40.5, 28.7, 21.0, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{22}H_{34}N_3O_5$ $[M+H]^+$: m/z 420.2493, found: 420.2498.

N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(2,3-dioxa-8-azaspiro [4.5] decan-8-yl) phenyl) oxalamide



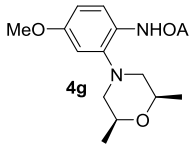
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (29.3 mg, 70% yield). Mp: 169-171 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.50 (s, 1H), 8.19 (d, *J* = 8.9 Hz, 1H), 6.73 (d, *J* = 2.8 Hz, 1H), 6.64 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.94 (hept, *J* = 6.7 Hz, 1H), 4.00 (s, 4H), 3.77 (s, 3H), 3.55 (hept, *J* = 6.9 Hz, 1H), 2.96 (dd, *J* = 6.6, 4.6 Hz, 4H), 1.94 (t, *J* = 5.6 Hz, 4H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.2, 156.6, 1441, 125.5, 120.1, 108.7, 107.2, 106.8, 64.3, 55.4, 50.6, 49.5, 46.7, 35.5, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{22}H_{34}N_3O_5$ $[M+H]^+$: m/z 420.2493, found: 420.2478.

N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(3-methylmorpholino) phenyl) oxalamide



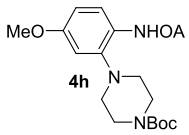
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colorless oil (25.2 mg, 75% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.88 (s, 1H), 8.31 (d, *J* = 9.0 Hz, 1H), 6.79 (d, *J* = 2.8 Hz, 1H), 6.73 (dd, *J* = 9.0, 2.8 Hz, 1H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.94 – 3.82 (m, 3H), 3.80 (s, 3H), 3.57 (p, *J* = 6.8 Hz, 1H), 3.45 (dd, *J* = 11.3, 9.2 Hz, 1H), 3.11 (dqd, *J* = 9.4, 6.3, 3.0 Hz, 1H), 2.83 (dddd, *J* = 22.6, 12.2, 9.9, 3.0 Hz, 2H), 1.47 (dd, *J* = 6.8, 4.3 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H), 0.78 (d, *J* = 6.3 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.6, 160.2, 156.4, 140.9, 128.2, 120.2, 110.1, 109.6, 73.3, 67.8, 55.5, 54.6, 53.5, 49.6, 46.7, 21.0, 20.1, 14.3. **HRMS**: (ESI-TOF) m/z calcd for $C_{20}H_{32}N_3O_4$ $[M+H]^+$: m/z 378.2387, found: 378.2383.

N^1-(2-((2S,6R)-2,6-dimethylmorpholino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



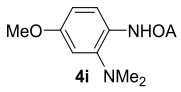
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (25.3 mg, 65% yield). Mp: 102-105 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.56 (s, 1H), 8.17 (d, *J* = 9.2 Hz, 1H), 6.87 – 6.46 (m, 2H), 5.00 (hept, *J* = 6.7 Hz, 1H), 3.94 (dqd, *J* = 10.0, 6.2, 2.0 Hz, 2H), 3.79 (s, 3H), 3.57 (hept, *J* = 6.9 Hz, 1H), 2.86 (dt, *J* = 10.6, 1.7 Hz, 2H), 2.44 (dd, *J* = 11.6, 10.0 Hz, 2H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H), 1.21 (s, 3H), 1.20 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.4, 160.0, 156.8, 143.3, 125.6, 120.9, 108.8, 107.3, 72.2, 57.9, 55.5, 49.5, 46.8, 21.0, 20.0, 19.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{34}N_3O_4$ $[M+H]^+$: m/z 392.2544, found: 392.2545.

tert-butyl 4-(2-(2-(diisopropylamino)-2-oxoacetamido)-5-methoxyphenyl) piperazine-1 carboxylate



The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (34.5 mg, 75% yield). Mp: 177-180 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.57 (s, 1H), 8.18 (d, *J* = 9.7 Hz, 1H), 6.91 – 6.34 (m, 2H), 5.02 (hept, *J* = 6.6 Hz, 1H), 3.78 (s, 3H), 3.64 (t, *J* = 5.0 Hz, 4H), 3.57 (hept, *J* = 6.8 Hz, 1H), 2.82 (t, *J* = 4.9 Hz, 4H), 1.48 (s, 9H), 1.46 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.3, 159.9, 156.7, 154.7, 143.6, 125.4, 120.8, 108.7, 107.2, 79.9, 55.4, 51.9, 49.5, 46.8, 28.4, 21.0, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{24}H_{39}N_4O_5$ $[M+H]^+$: m/z 463.2915, found: 463.2911.

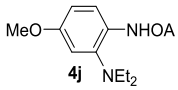
N^1-(2-(dimethylamino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colorless oil (24.3 mg, 76% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.41 (s, 1H), 8.20 (d, *J* = 8.9 Hz, 1H), 6.72 (d, *J* = 2.8 Hz, 1H), 6.62 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.91 (hept, *J* = 6.7 Hz, 1H), 3.79 (s, 3H), 3.56 (hept, *J* = 6.8 Hz, 1H), 2.66 (s, 6H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR**

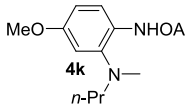
(100 MHz, $CDCl_3$) δ 162.8, 160.1, 156.7, 145.4, 125.5, 120.7, 108.0, 106.9, 55.4, 49.7, 46.7, 44.5, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{17}H_{28}N_3O_3$ $[M+H]^+$: m/z 322.2125, found: 322.2123.

N^1-(2-(diethylamino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



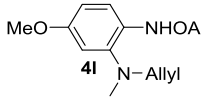
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colourless oil (24.4 mg, 70% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.76 (s, 1H), 8.30 (d, *J* = 9.0 Hz, 1H), 6.74 (d, *J* = 2.8 Hz, 1H), 6.69 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.86 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.56 (hept, *J* = 6.9 Hz, 1H), 2.94 (q, *J* = 7.1 Hz, 4H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.26 (d, *J* = 6.6 Hz, 6H), 0.96 (t, *J* = 7.1 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 160.2, 156.4, 141.3, 129.0, 120.0, 109.7, 109.3, 55.4, 49.7, 49.2, 46.6, 20.9, 20.1, 12.6. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{32}N_3O_3$ $[M+H]^+$: m/z 350.2438, found: 350.2439.

N^1, N^1-diisopropyl-N^2-(4-methoxy-2-(methyl(propyl)amino) phenyl) oxalamide



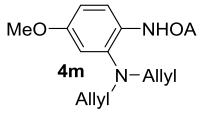
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colourless oil (24.7 mg, 71% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 8.26 (d, *J* = 8.9 Hz, 1H), 6.74 (d, *J* = 2.8 Hz, 1H), 6.65 (dd, *J* = 9.0, 2.8 Hz, 1H), 4.85 (hept, *J* = 6.7 Hz, 1H), 3.79 (s, 3H), 3.56 (p, *J* = 6.8 Hz, 1H), 2.83 – 2.72 (m, 2H), 2.63 (s, 3H), 1.52 (dd, *J* = 14.9, 7.5 Hz, 2H), 1.46 (d, *J* = 6.7 Hz, 6H), 1.26 (d, *J* = 6.7 Hz, 6H), 0.88 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 160.3, 156.5, 144.4, 125.8, 120.3, 108.6, 108.3, 58.8, 55.4, 49.7, 46.6, 42.4, 20.9, 20.6, 20.1, 11.5. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{32}N_3O_3$ $[M+H]^+$: m/z 350.2438, found: 350.2436.

N^1-(2-(allyl(methyl)amino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



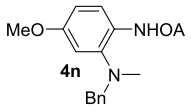
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a white solid (22.6mg, 65% yield). Mp: 45-48 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.43 (s, 1H), 8.22 (d, *J* = 8.9 Hz, 1H), 6.71 (d, *J* = 2.7 Hz, 1H), 6.64 (dd, *J* = 8.9, 2.8 Hz, 1H), 5.88 (ddt, *J* = 16.6, 10.1, 6.3 Hz, 1H), 5.23 (dd, *J* = 17.1, 1.6 Hz, 1H), 5.16 (dd, *J* = 10.2, 1.5 Hz, 1H), 4.88 (hept, *J* = 6.6 Hz, 1H), 3.79 (s, 3H), 3.56 (hept, *J* = 6.8 Hz, 1H), 3.43 (dt, *J* = 6.2, 1.3 Hz, 2H), 2.64 (s, 3H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.8, 160.2, 156.5, 144.2, 134.5, 125.0, 120.7, 118.0, 108.4, 108.1, 59.8, 55.4, 49.7, 46.7, 41.1, 21.0, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{19}H_{30}N_3O_3$ $[M+H]^+$: m/z 348.2282, found: 348.2271.

N^1-(2-(diallylamino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



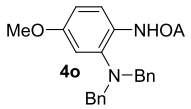
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colourless oil (14.9 mg, 40% yield). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.53 (s, 1H), 8.23 (d, *J* = 8.9 Hz, 1H), 6.69 (d, *J* = 2.8 Hz, 1H), 6.66 (dd, *J* = 8.9, 2.8 Hz, 1H), 5.80 (ddt, *J* = 16.7, 10.2, 6.3 Hz, 2H), 5.15 (dt, *J* = 17.1, 1.6 Hz, 2H), 5.12 – 5.07 (m, 2H), 4.87 (hept, *J* = 6.7 Hz, 1H), 3.78 (s, 3H), 3.62 – 3.47 (m, 5H), 1.47 (d, *J* = 6.8 Hz, 6H), 1.27 (d, *J* = 6.8 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.8, 160.2, 156.2, 141.7, 134.2, 127.1, 120.5, 118.2, 109.9, 108.9, 56.5, 55.4, 49.7, 46.7, 21.0, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{21}H_{32}N_3O_3$ $[M+H]^+$: m/z 374.2438, found: 374.2429.

N^1-(2-(benzyl(methyl)amino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



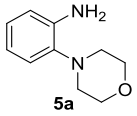
The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colourless oil (19.8 mg, 50% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.68 (s, 1H), 8.25 (d, *J* = 8.9 Hz, 1H), 7.42 – 7.18 (m, 5H), 6.74 (d, *J* = 2.8 Hz, 1H), 6.67 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.87 (hept, *J* = 7.0 Hz, 1H), 3.94 (s, 2H), 3.78 (s, 3H), 3.56 ((hept, *J* = 7.0 Hz, 1H), 2.59 (s, 3H), 1.48 (d, *J* = 6.8 Hz, 6H), 1.23 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.7, 160.2, 156.5, 144.1, 137.4, 128.8, 128.4, 127.3, 125.3, 120.6, 109.0, 108.5, 61.5, 55.5, 49.6, 46.7, 41.3, 20.9, 20.1. **HRMS**: (ESI-TOF) m/z calcd for $C_{23}H_{32}N_3O_3$ $[M+H]^+$: m/z 398.2438, found: 398.2429.

N^1-(2-(dibenzylamino)-4-methoxyphenyl)-N^2, N^2-diisopropyloxalamide



The title compound was purified by flash chromatography (PE/EA = 8/1) to afford the product as a colourless oil (11.9 mg, 25% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.90 (s, 1H), 8.18 (d, *J* = 8.9 Hz, 1H), 7.38 – 7.14 (m, 10H), 6.70 (d, *J* = 2.8 Hz, 1H), 6.64 (dd, *J* = 8.9, 2.8 Hz, 1H), 4.89 (hept, *J* = 6.7 Hz, 1H), 4.02 (s, 4H), 3.73 (s, 3H), 3.57 (hept, *J* = 6.7 Hz, 1H), 1.53 (d, *J* = 6.8 Hz, 6H), 1.23 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5, 160.0, 156.1, 141.4, 137.3, 129.1, 128.3, 127.4, 127.3, 120.2, 110.3, 109.9, 58.3, 55.4, 49.4, 46.7, 20.9, 20.0. **HRMS**: (ESI-TOF) m/z calcd for $C_{29}H_{36}N_3O_3$ $[M+H]^+$: m/z 474.2751, found: 474.2742.

2-morpholinoaniline



The title compound was purified by flash chromatography (PE/EA = 5/1) to afford the product as a yellow solid (15.1 mg, 85% yield).[6] **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.01 (dd, *J* = 7.8, 1.4 Hz, 1H), 6.95 (td, *J* = 7.6, 1.4 Hz, 1H), 6.83 – 6.68 (m, 2H), 3.95 – 3.73 (m, 4H), 3.01 – 2.79 (m, 4H).

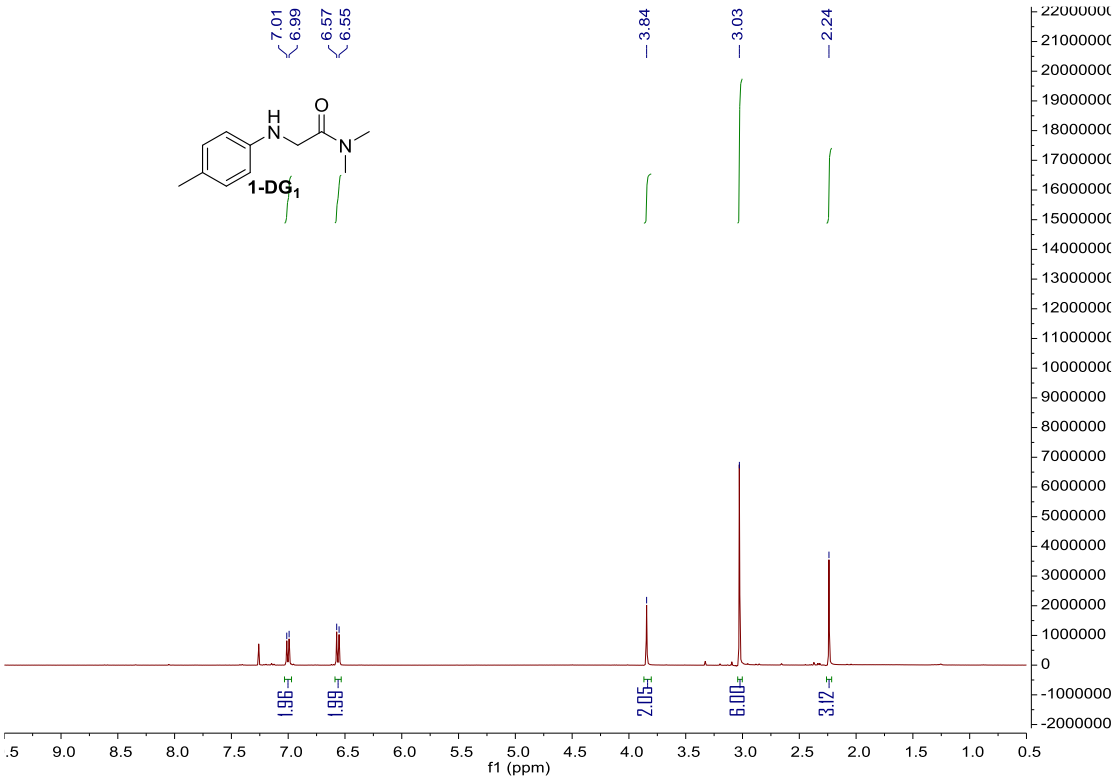
4. references

[1] C. Wang, C.-P. Chen, *J*.-Y. Zhang, *J*. Han, Q. Wang, K.-P. Guo, P. Liu, M.-Y. Guan, Y.-M. Yao, Y.-S. Zhao, *Angew*. *Chem*., *Int*. *Ed*. **2014**, *53*, 9884.

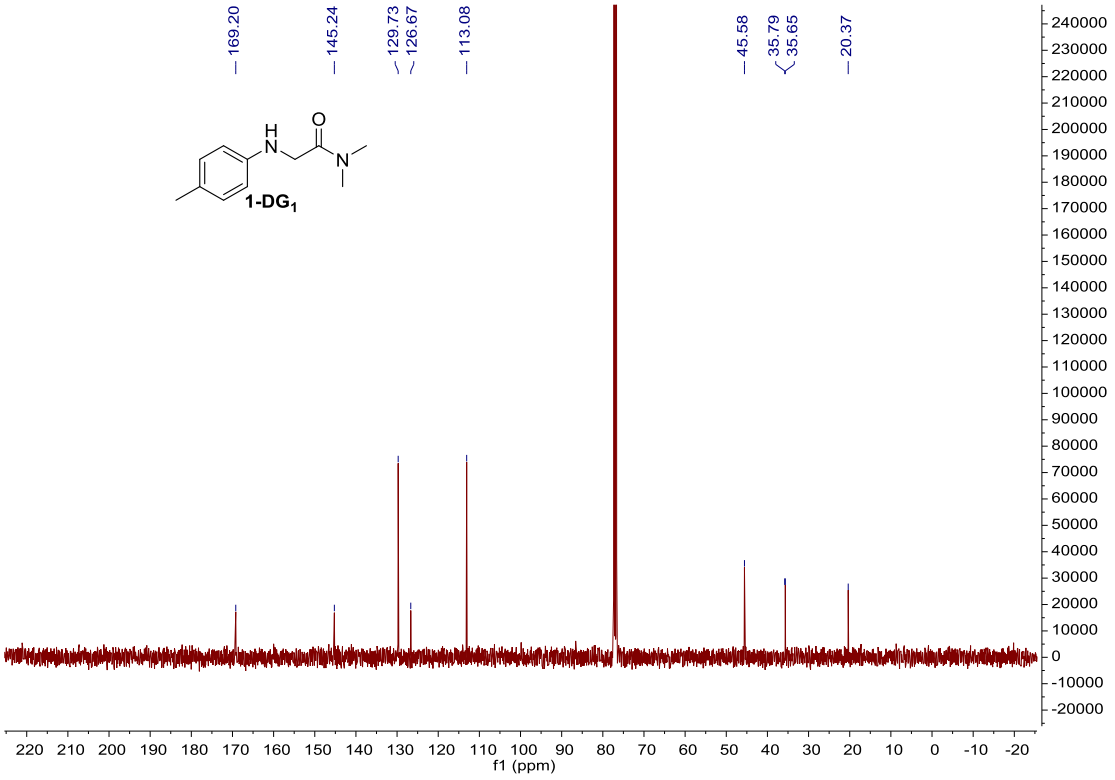
[2] C.-P. Chen, M.-Y. Guan, *J*.-Y. Zhang, Z.-K. Wen, Y.-S. Zhao, *Org*. *Lett*. **2015**, *17*, 3646.
[3] C.-P. Chen, C. Wang, *J*.-Y. Zhang, Y.-S. Zhao, *J*. *Org*. *Chem*. **2015**, *80*, 942.
[4] R. Mao, A. Frey, *J*. Balon, X. Hu, *Nature Catalysis*. ***2018***, *1*, 120.
[5] W. Zhou, M.-Y. Fan, *J*.-L. Yin, Y.-W. *J*iang, D.-W. Ma, *J*. *Am. Chem. Soc*. **2015**, *137*, 11942.
[6] Li, Q, Zhang, S.-Y, He, G, Ai, Z, Nack, W. A, Chen, G, *Org. Lett*. **2014**, *16*, 1764.

5. NMR Spectra for New Compounds

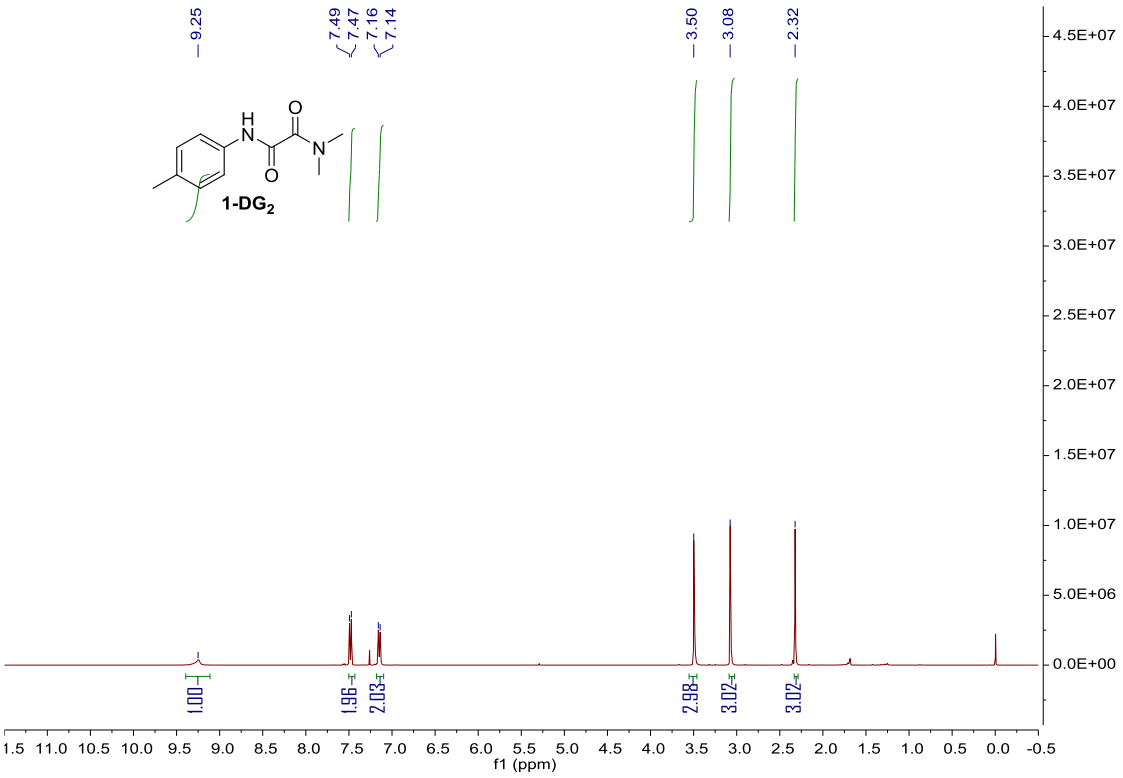
^{1}H NMR (400 MHz) of **1-DG$_1$** in $CDCl_3$



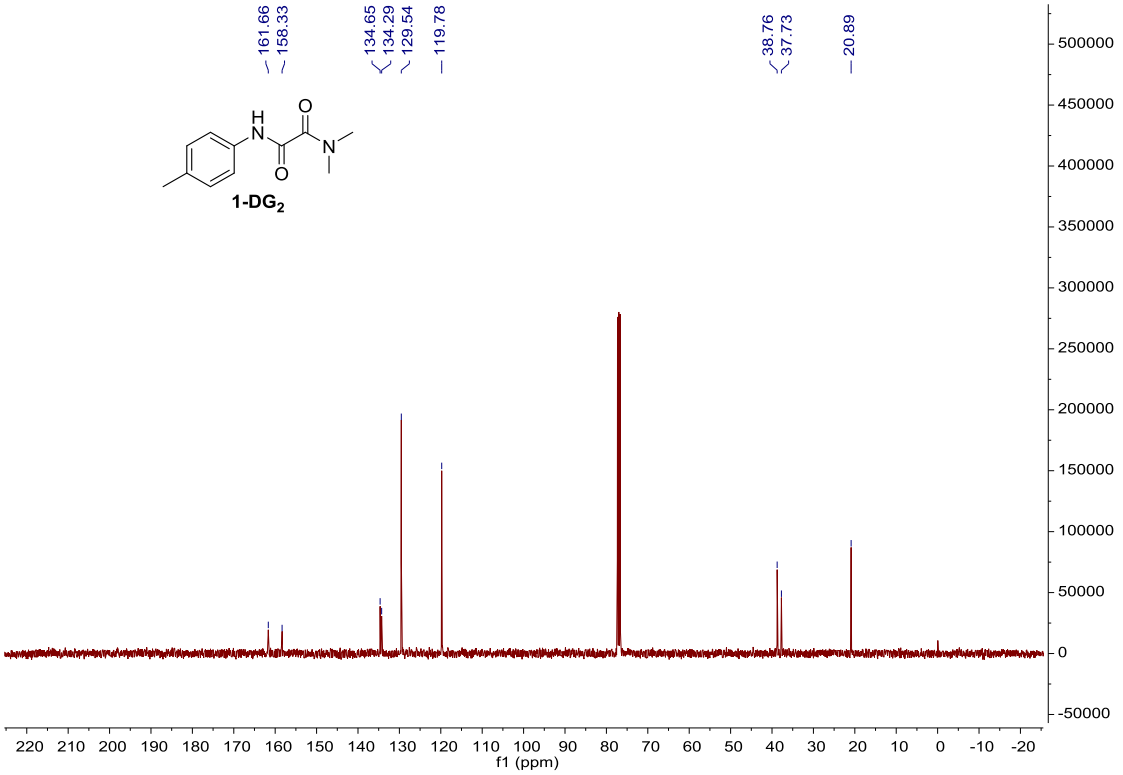
^{13}C NMR (100 MHz) of **1-DG$_1$** in $CDCl_3$



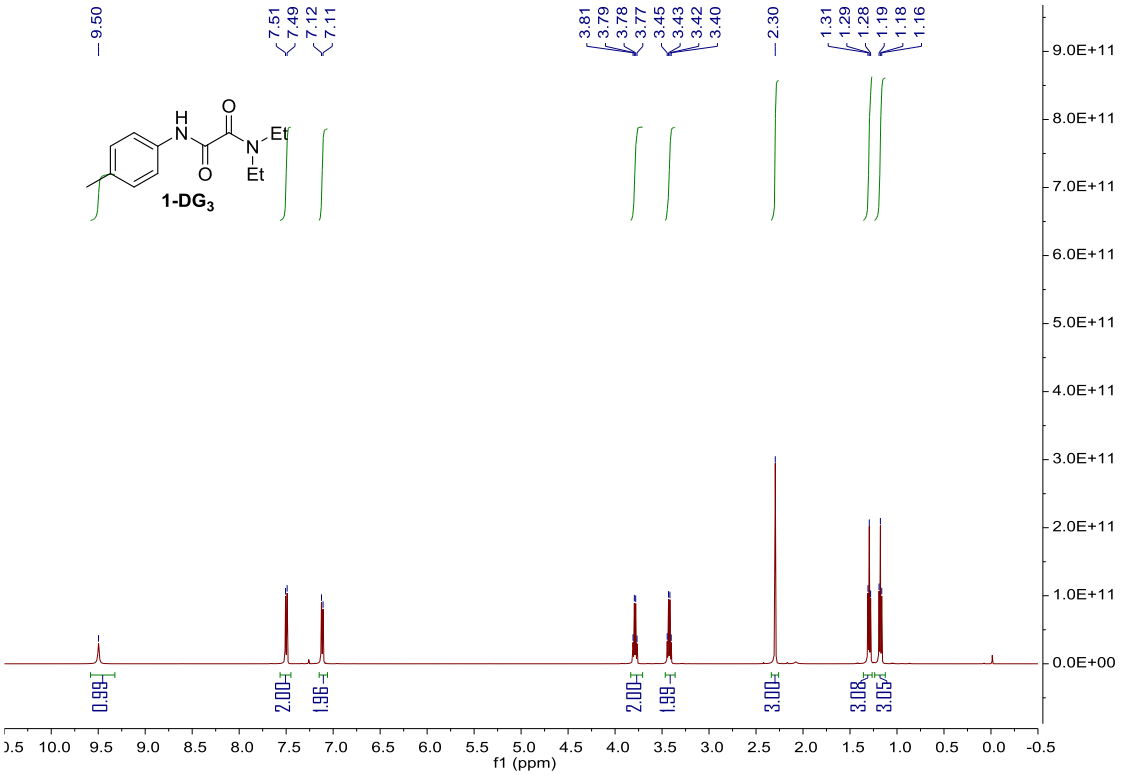
^{1}H NMR (400 MHz) of **1-DG$_2$** in $CDCl_3$



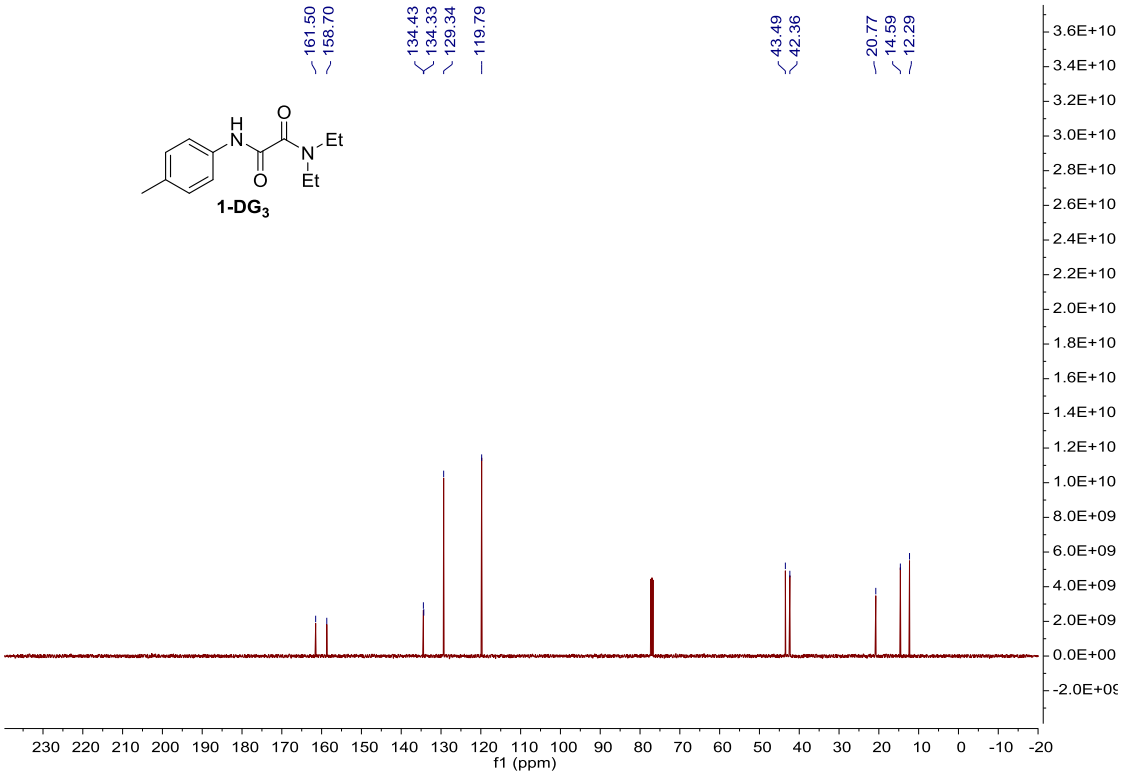
^{13}C NMR (100 MHz) of **1-DG$_2$** in $CDCl_3$



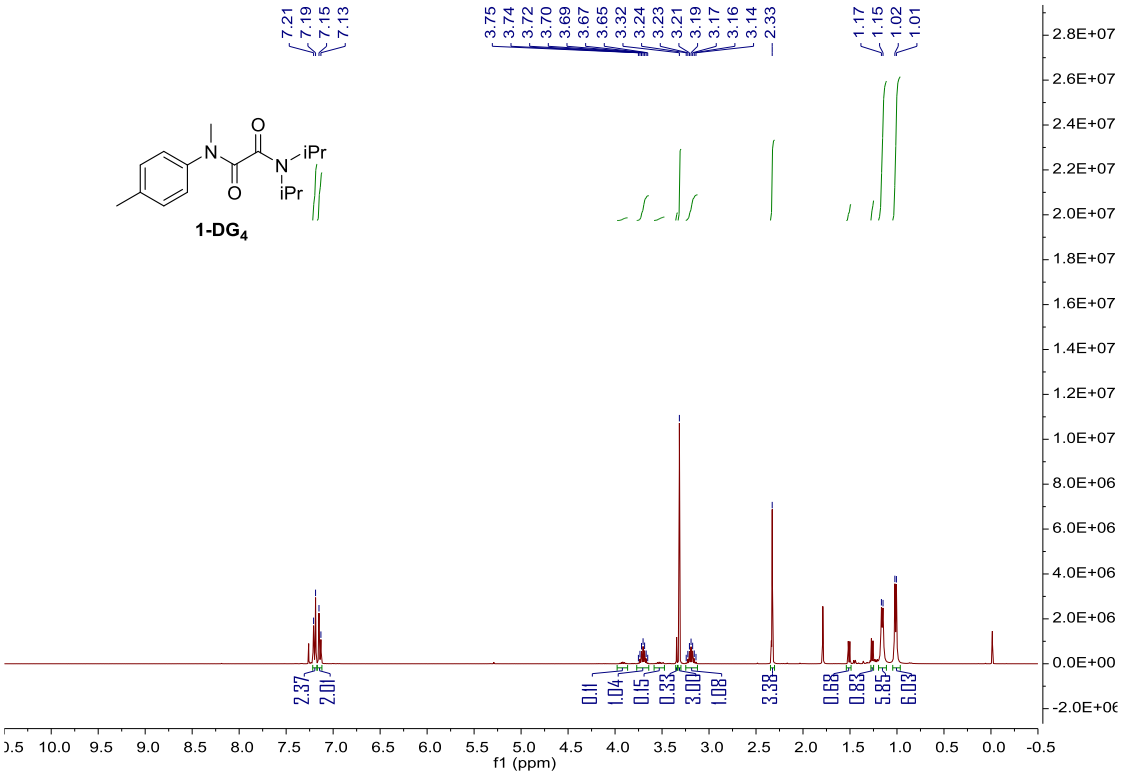
^{1}H NMR (500 MHz) of **1-DG$_3$** in $CDCl_3$



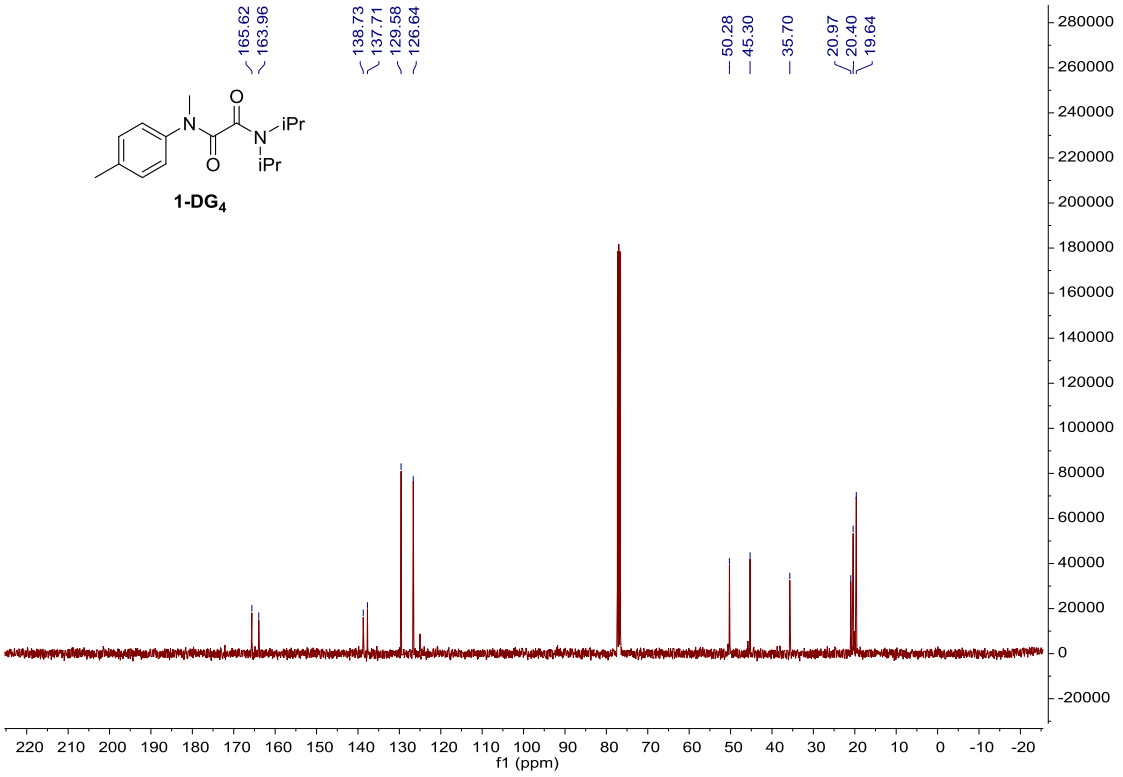
^{13}C NMR (125 MHz) of **1-DG$_3$** in $CDCl_3$



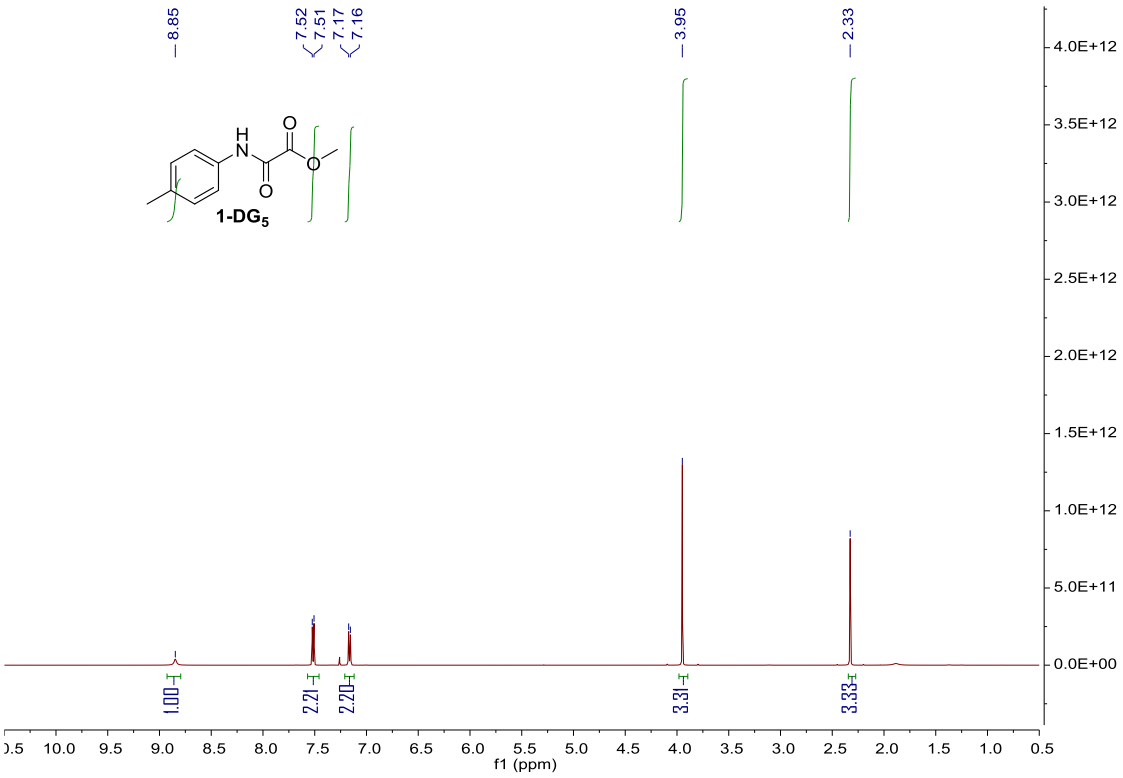
^{1}H NMR (400 MHz) of **1-DG$_4$** in $CDCl_3$



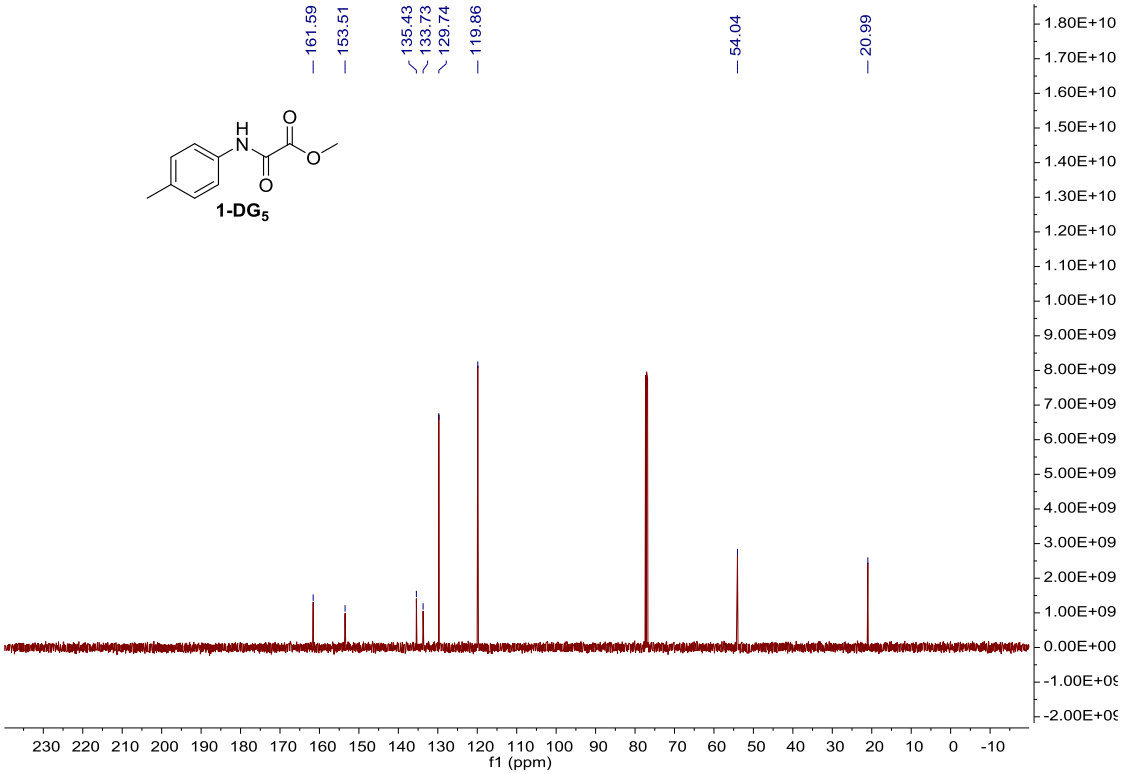
^{13}C NMR (100 MHz) of **1-DG$_4$** in $CDCl_3$



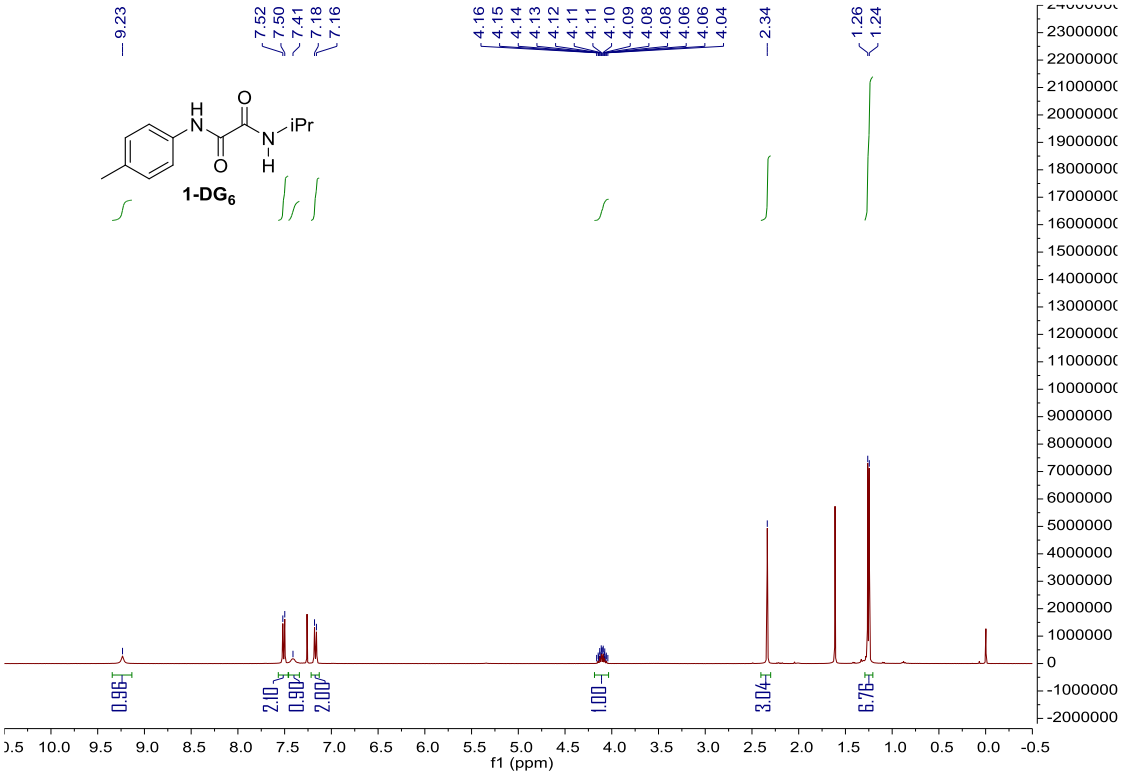
^{1}H NMR (500 MHz) of **1-DG$_5$** in $CDCl_3$



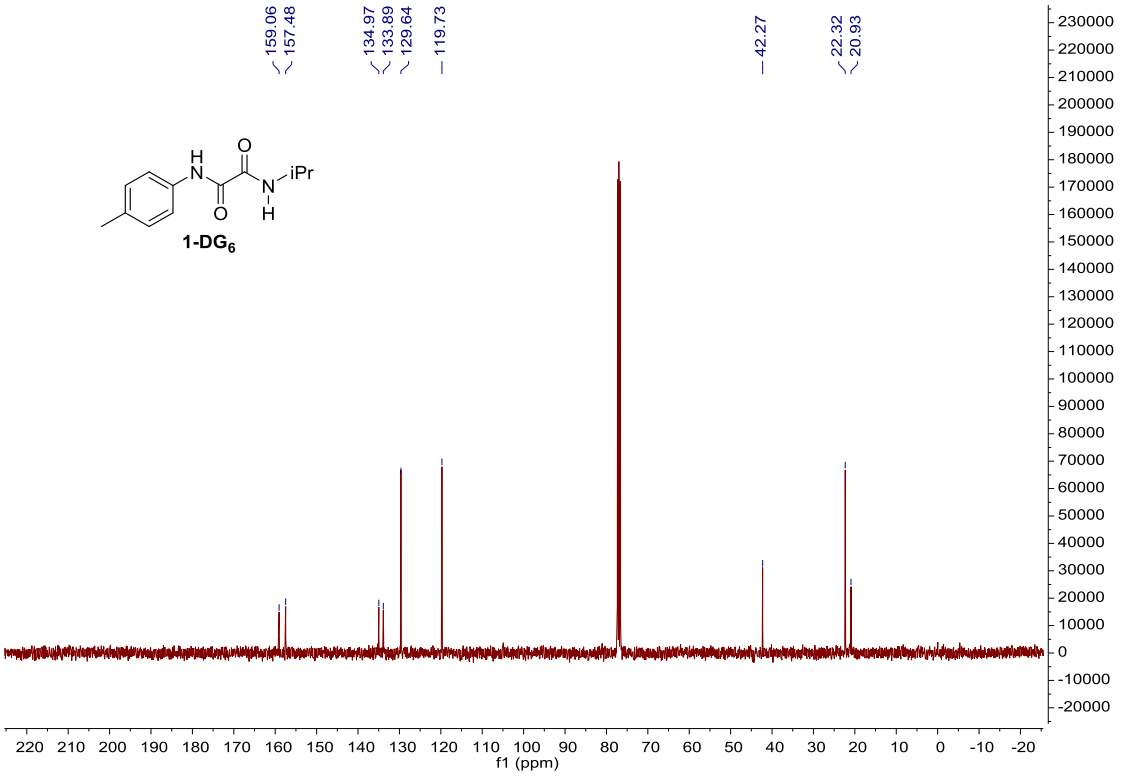
^{13}C NMR (125 MHz) of **1-DG$_5$** in $CDCl_3$



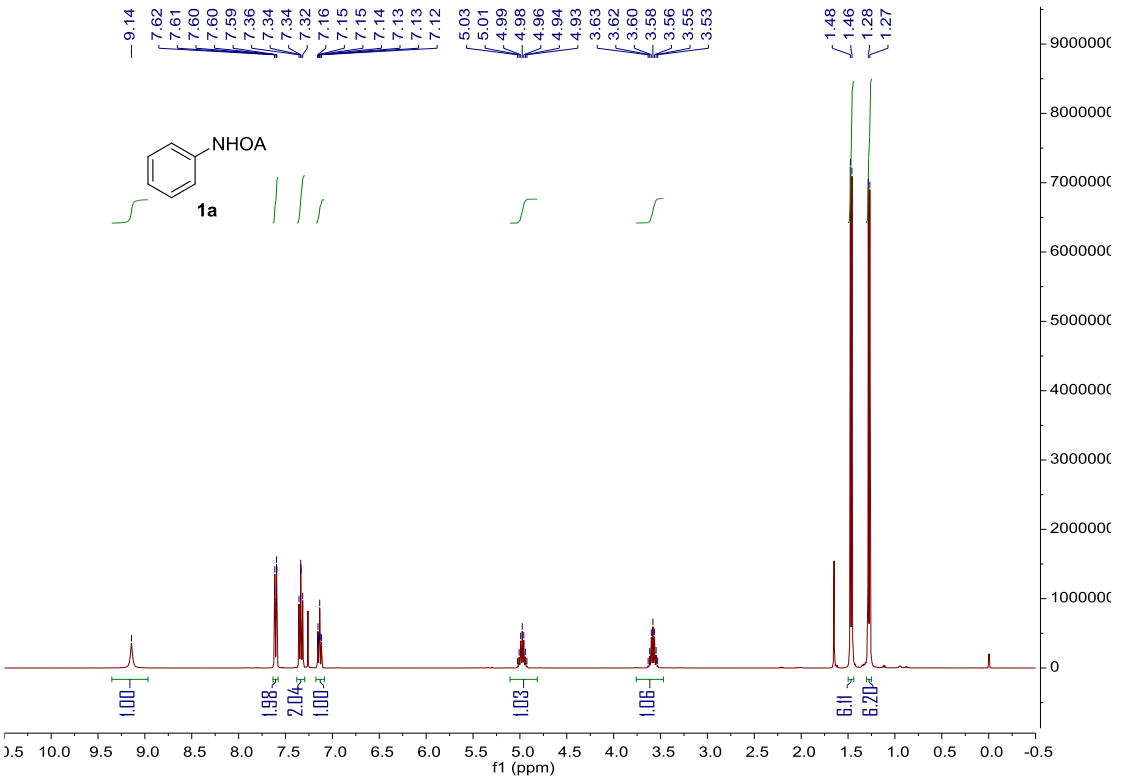
^{1}H NMR (400 MHz) of **1-DG$_6$** in $CDCl_3$



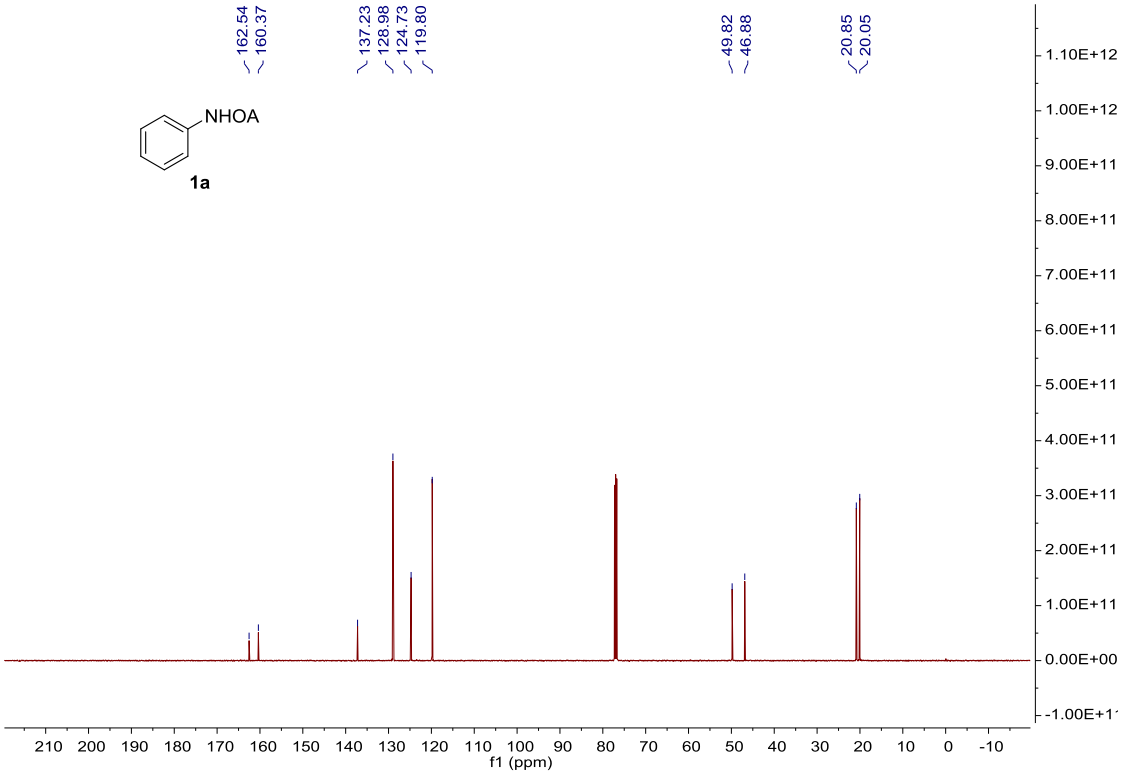
^{13}C NMR (100 MHz) of **1-DG$_6$** in $CDCl_3$



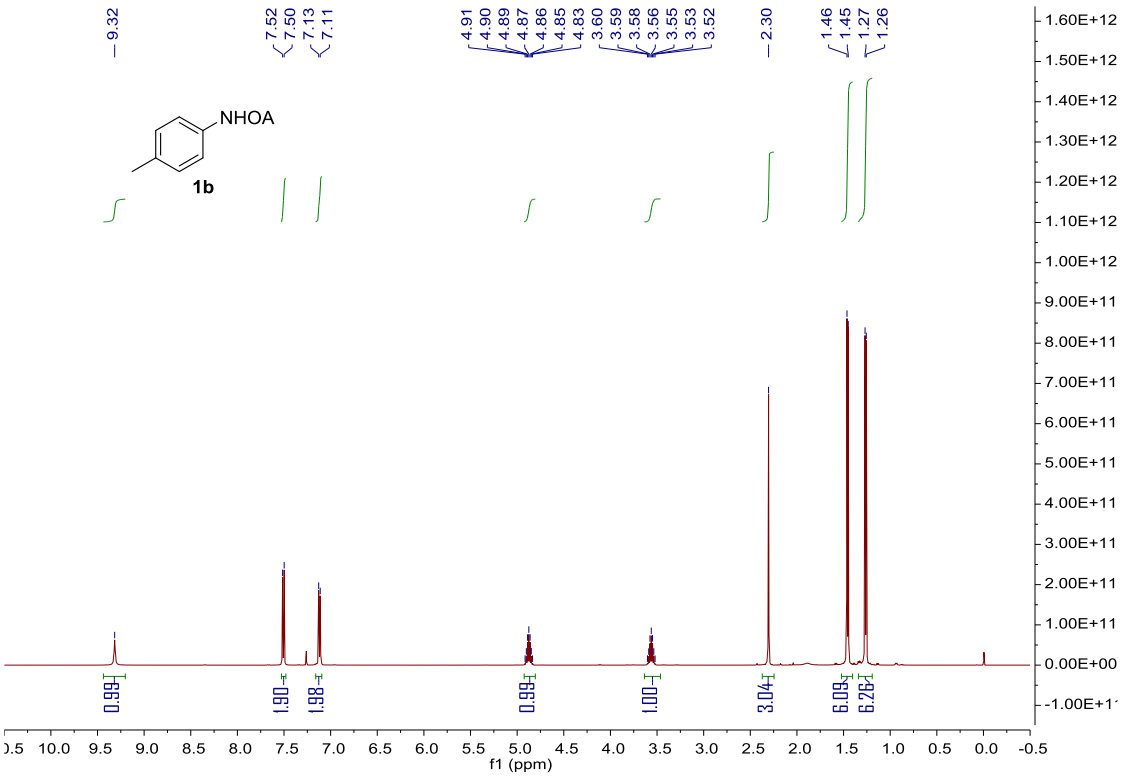
^{1}H NMR (400 MHz) of **1a** in $CDCl_3$



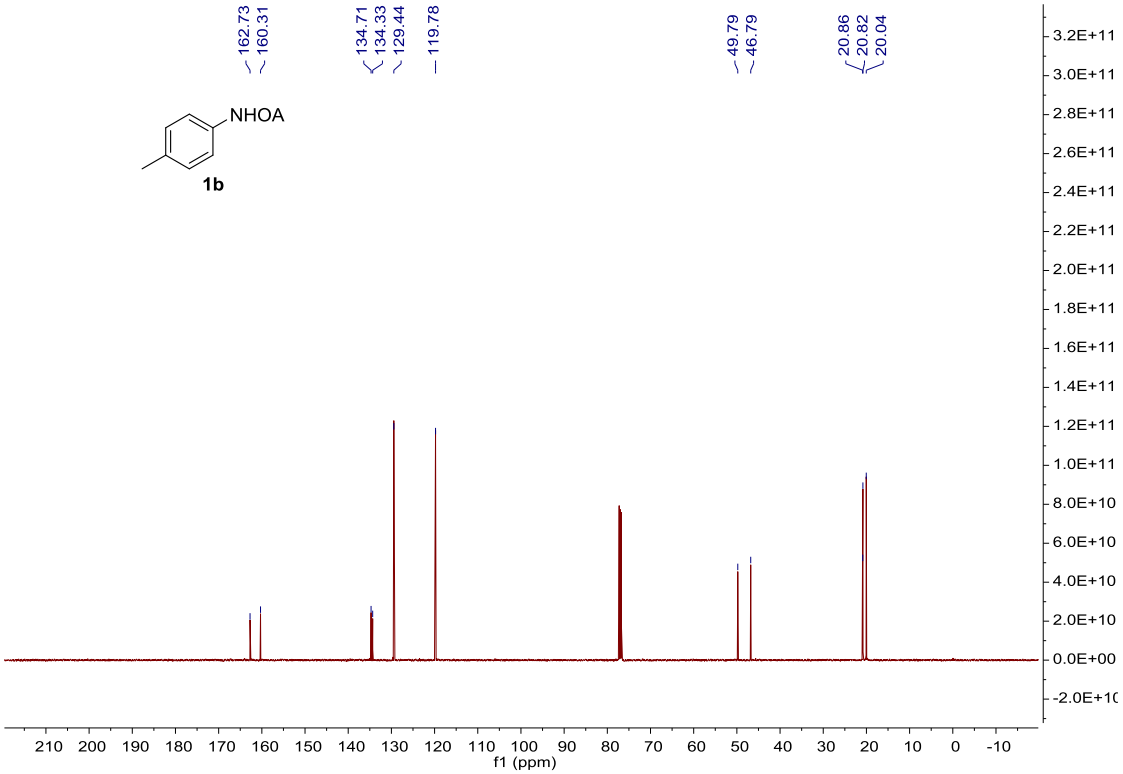
^{13}C NMR (125 MHz) of **1a** in $CDCl_3$



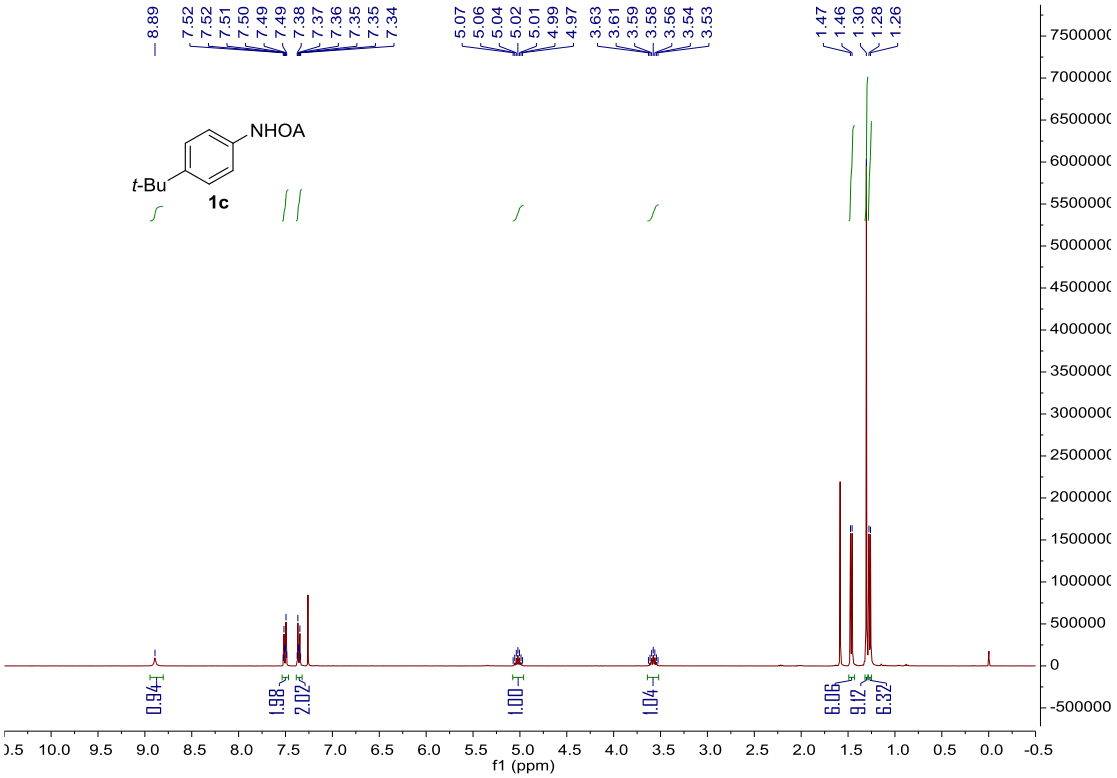
^{1}H NMR (400 MHz) of **1b** in $CDCl_3$



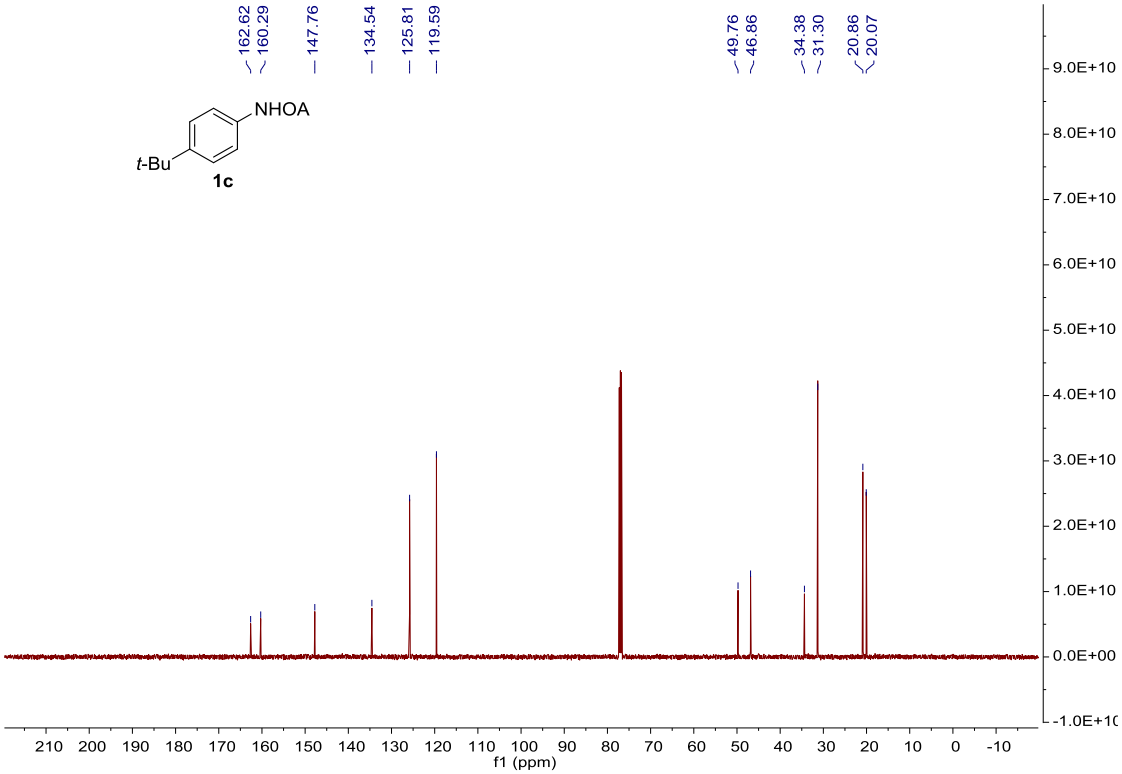
^{13}C NMR (125 MHz) of **1b** in $CDCl_3$



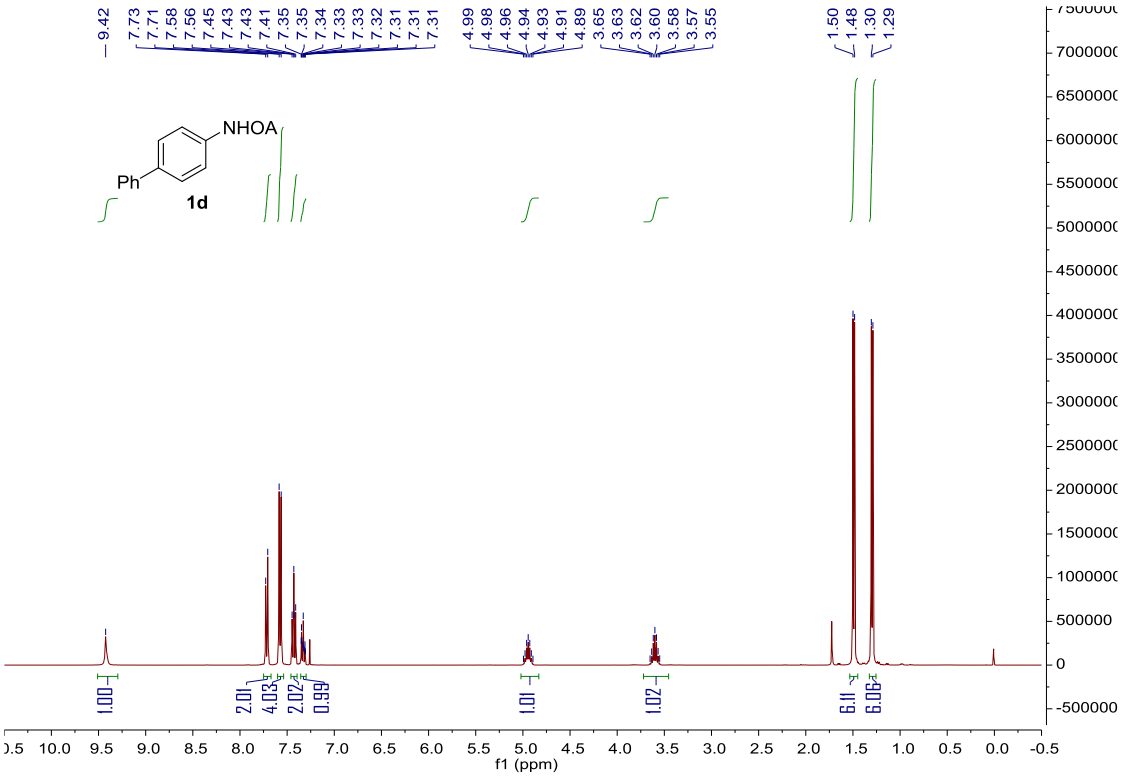
^{1}H NMR (400 MHz) of **1c** in $CDCl_3$



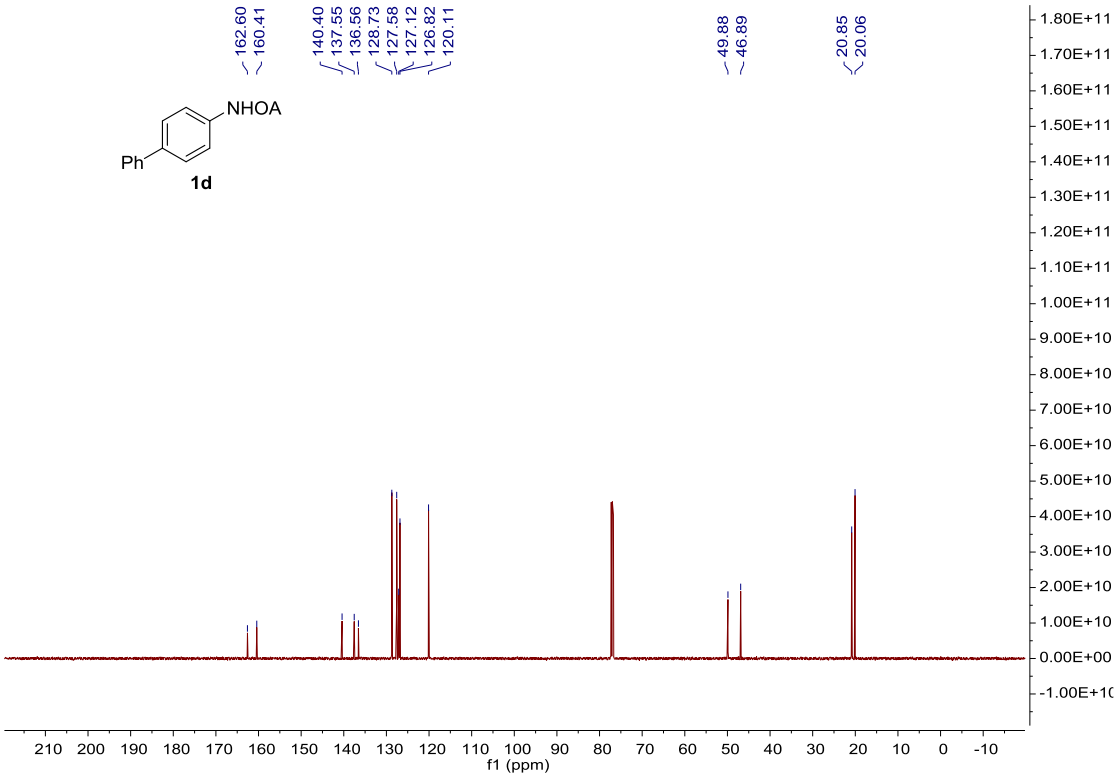
^{13}C NMR (125 MHz) of **1c** in $CDCl_3$



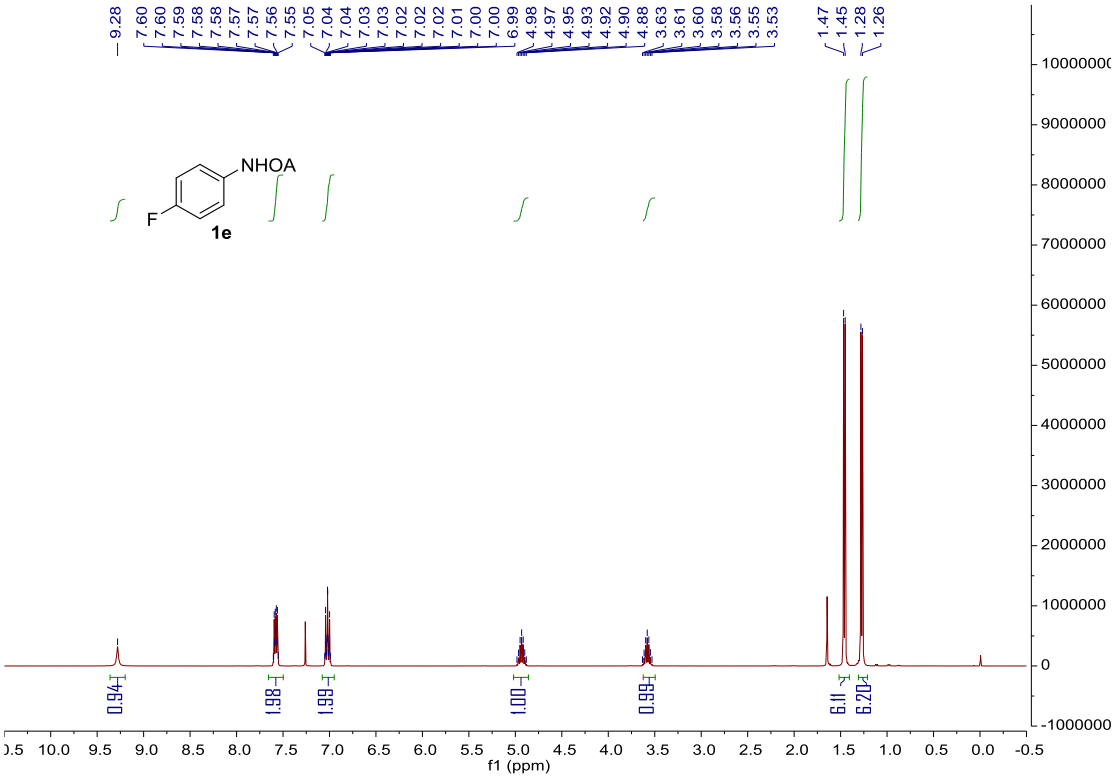
^{1}H NMR (400 MHz) of **1d** in $CDCl_3$



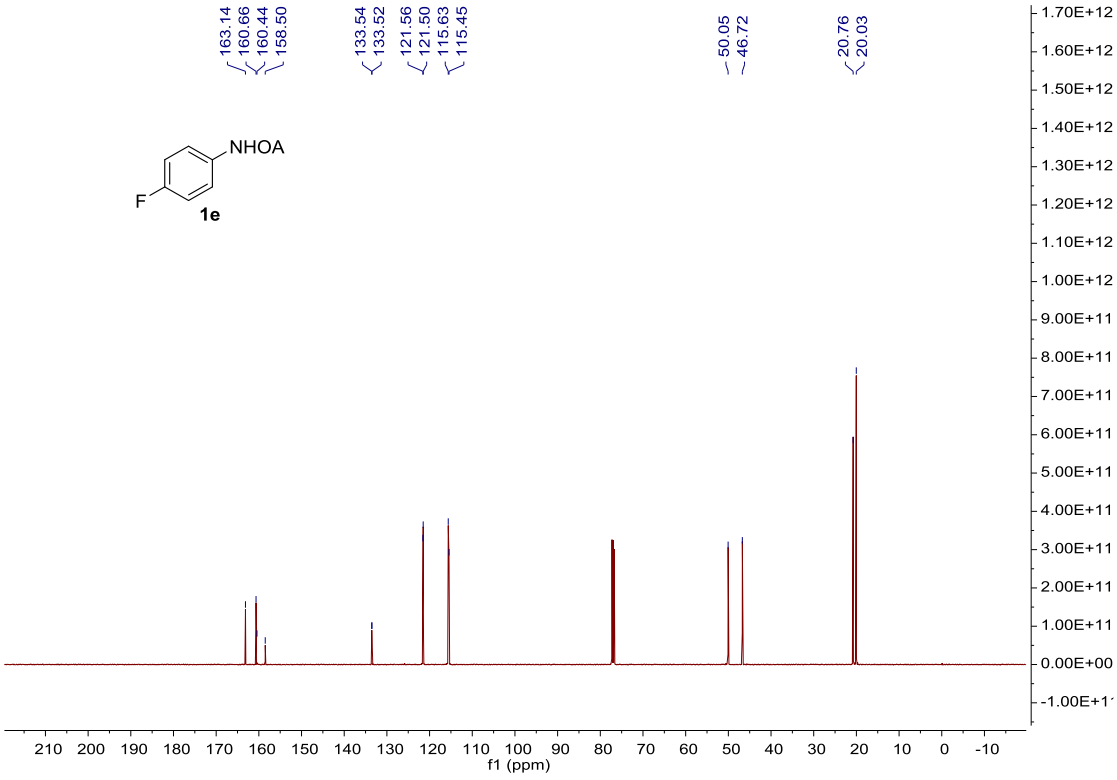
^{13}C NMR (125 MHz) of **1d** in $CDCl_3$



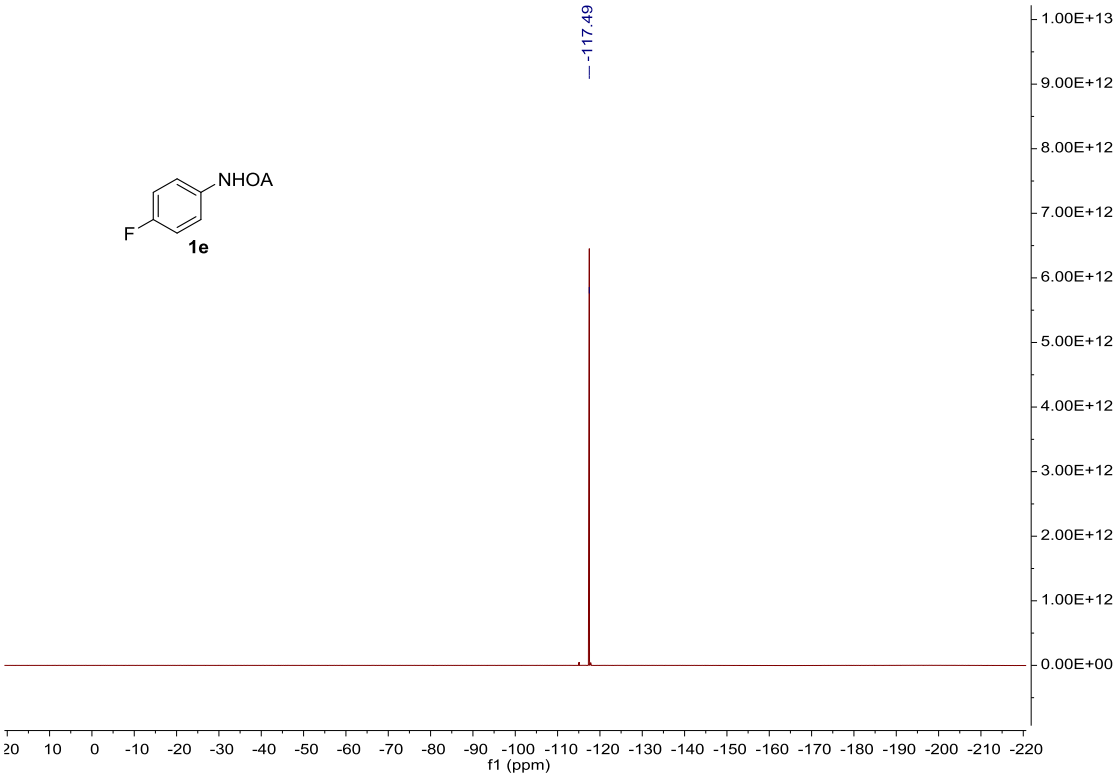
^{1}H NMR (400 MHz) of **1e** in $CDCl_3$



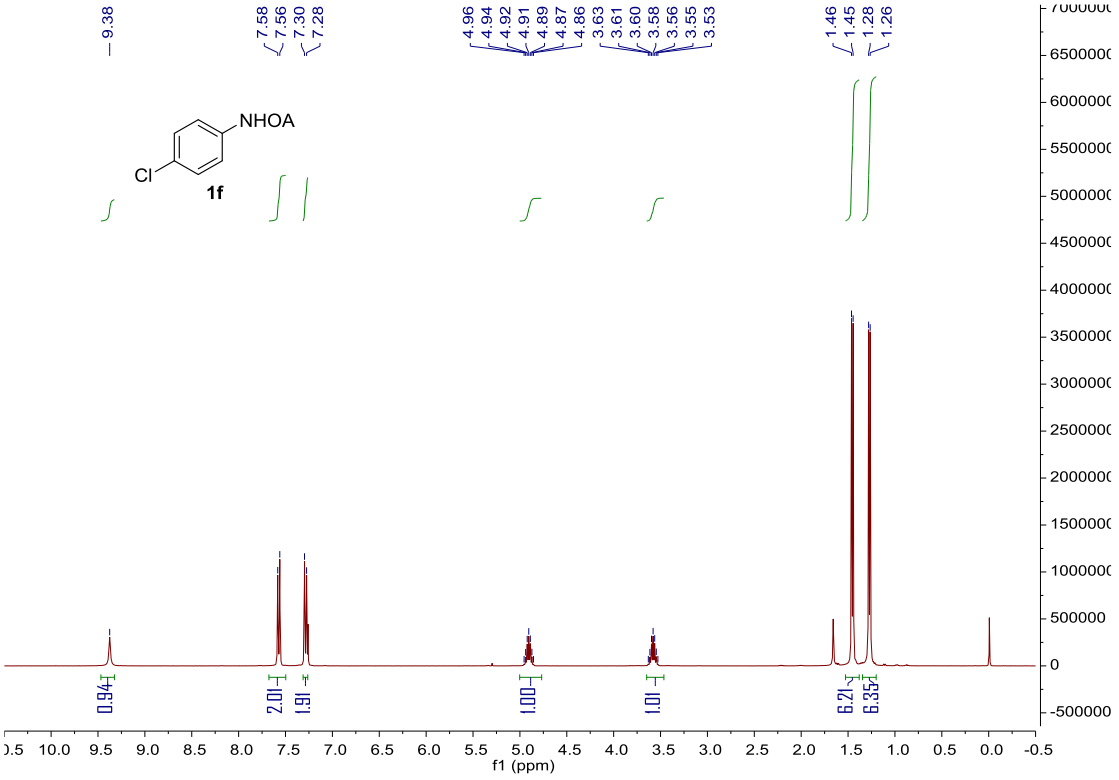
^{13}C NMR (125 MHz) of **1e** in $CDCl_3$



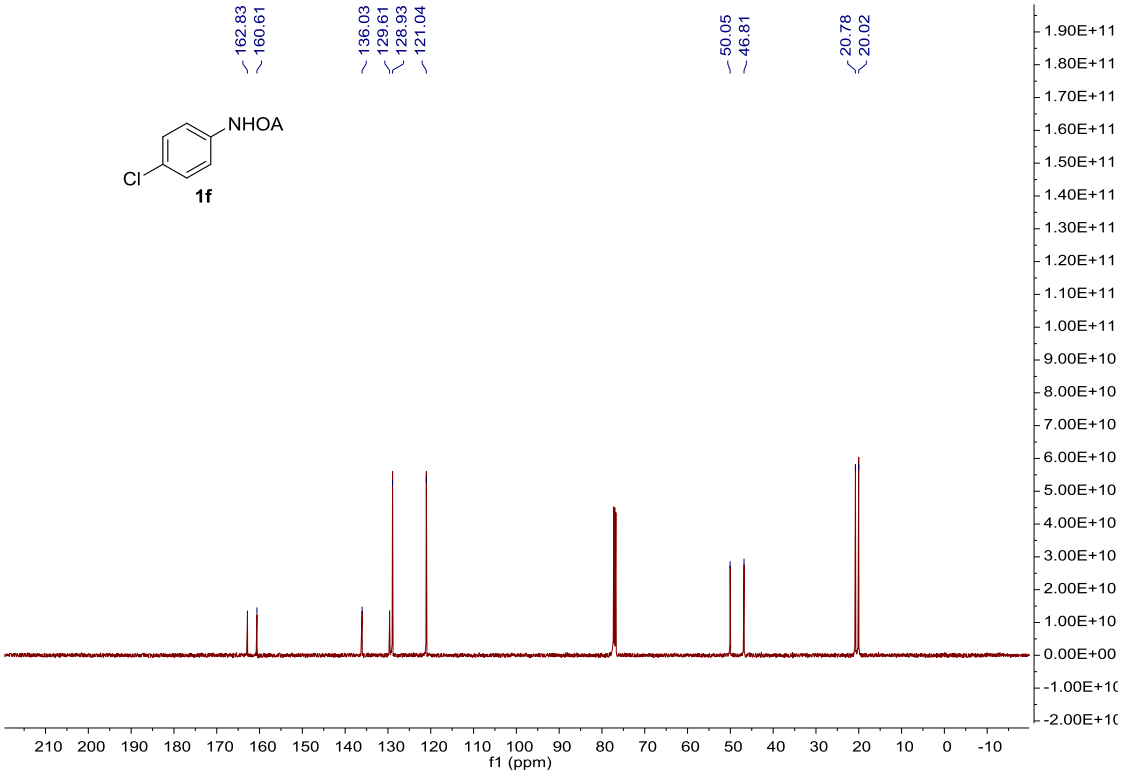
^{19}F NMR (471 MHz) of **1e** in $CDCl_3$



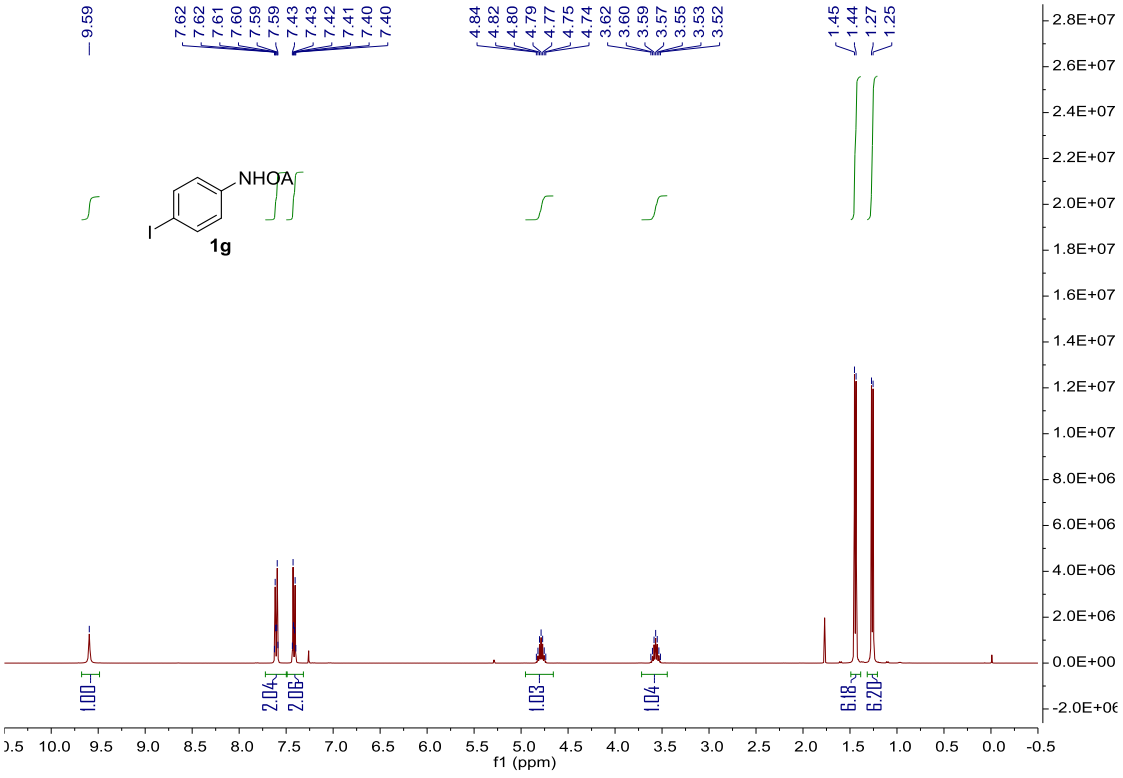
^{1}H NMR (400 MHz) of **1f** in $CDCl_3$



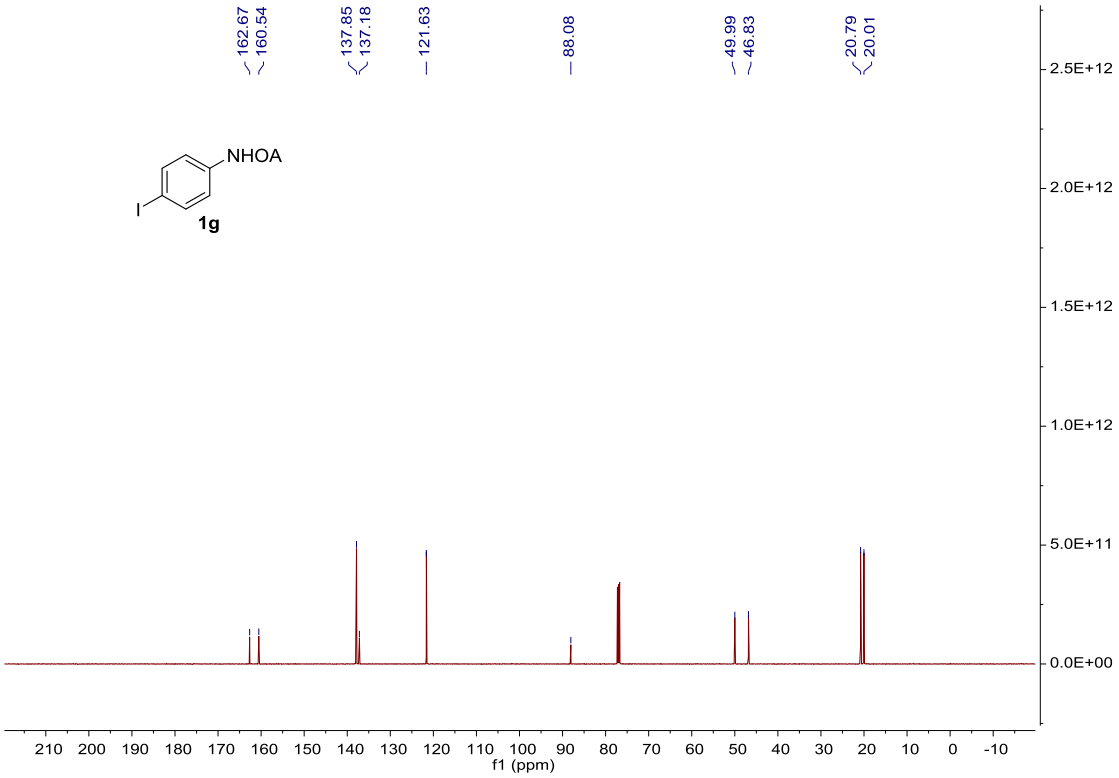
^{13}C NMR (125 MHz) of **1f** in $CDCl_3$



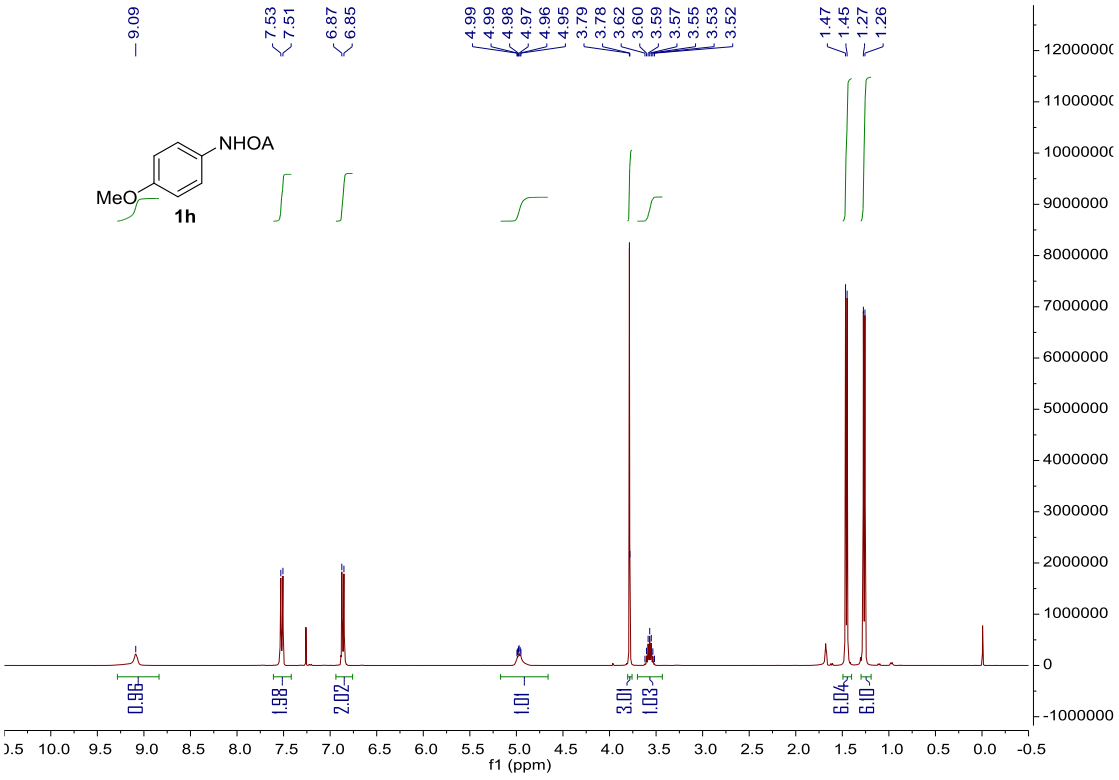
^{1}H NMR (400 MHz) of **1g** in $CDCl_3$



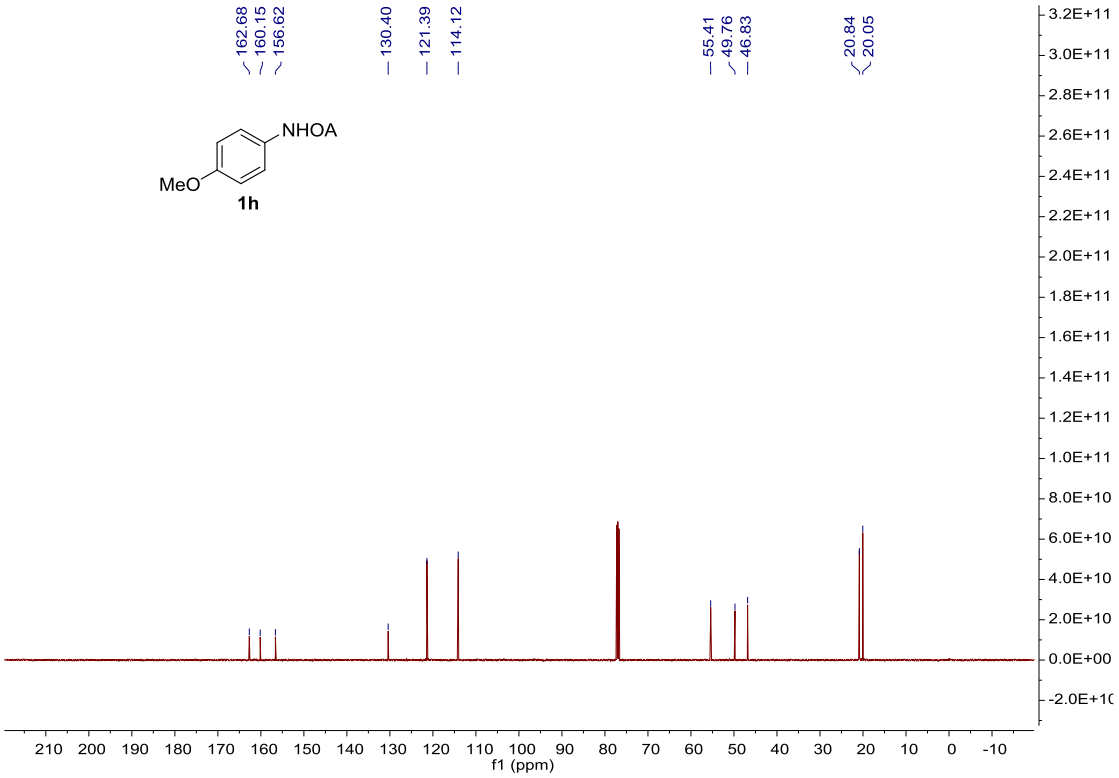
^{13}C NMR (125 MHz) of **1g** in $CDCl_3$



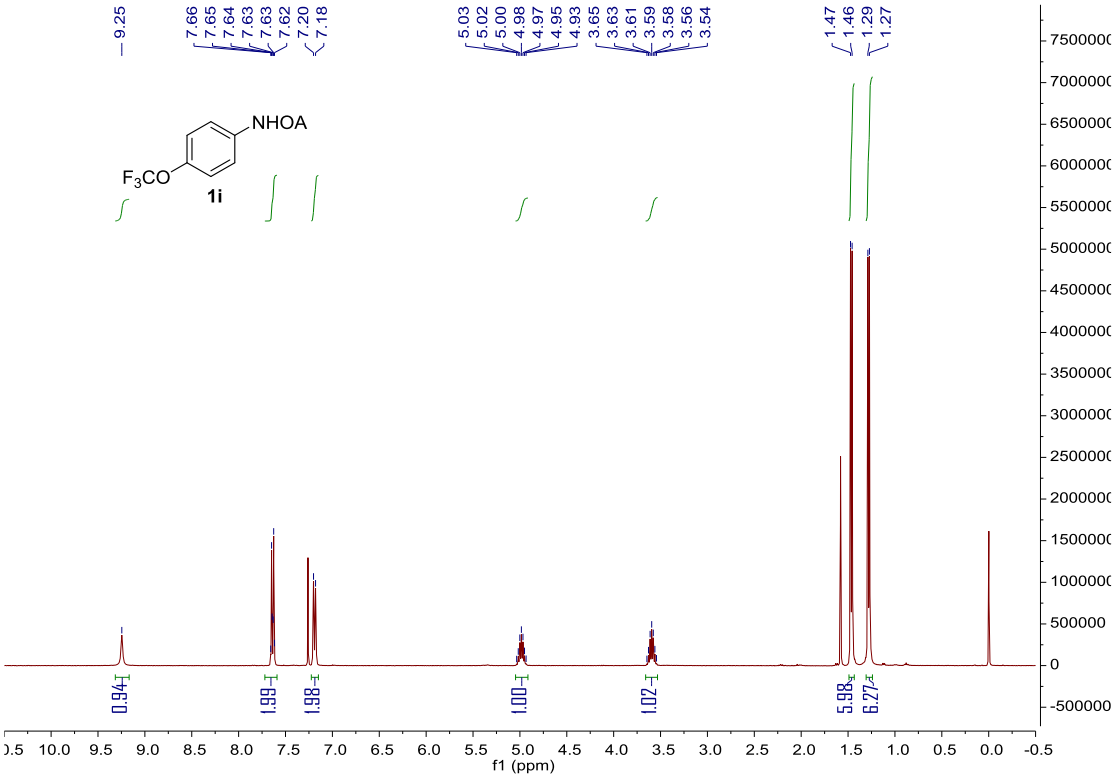
^{1}H NMR (400 MHz) of **1h** in $CDCl_3$



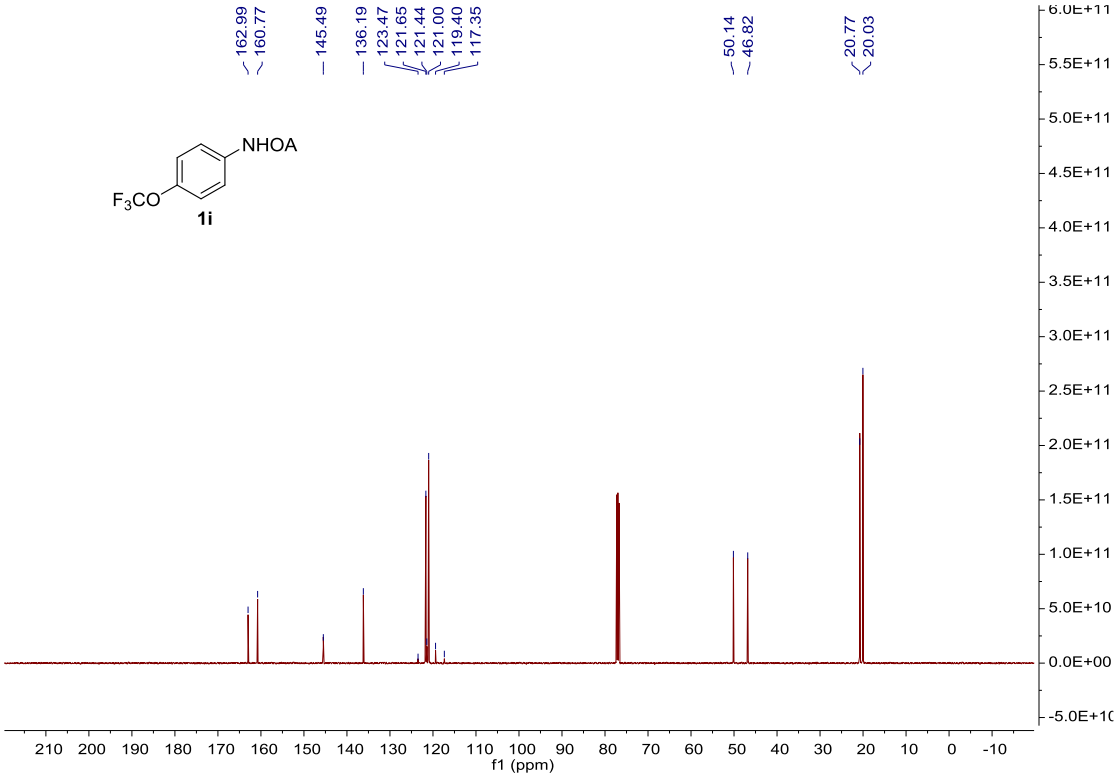
^{13}C NMR (125 MHz) of **1h** in $CDCl_3$



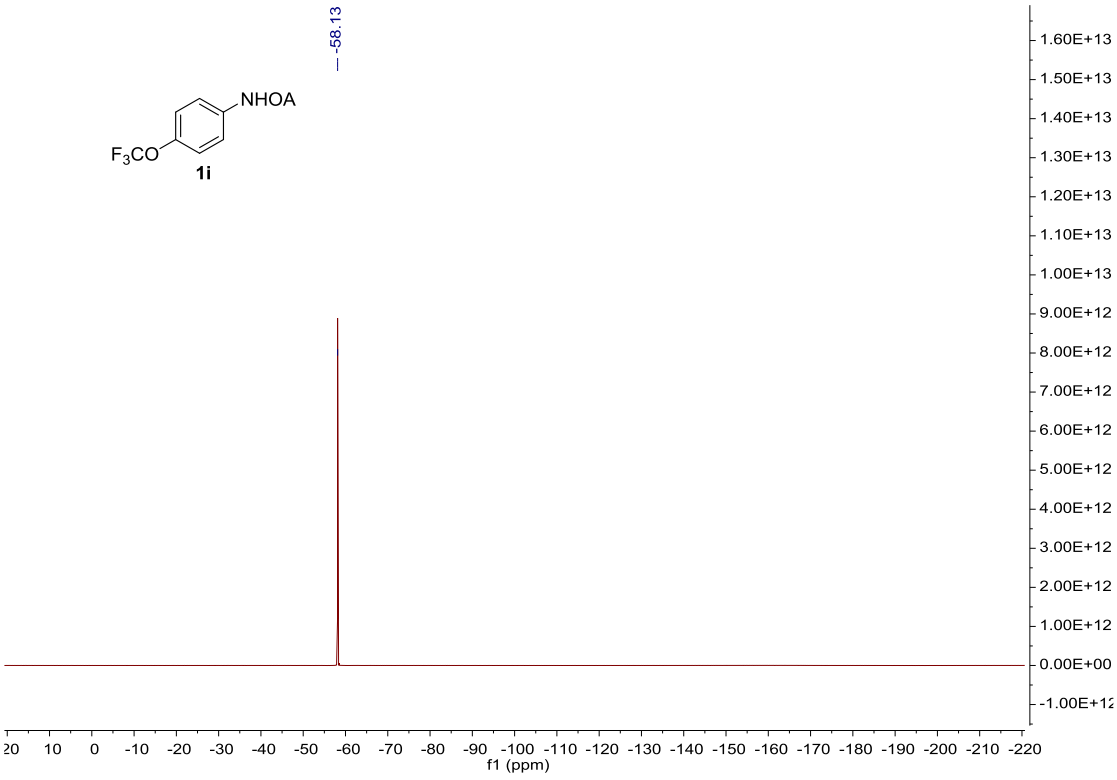
^{1}H NMR (400 MHz) of **1i** in $CDCl_3$



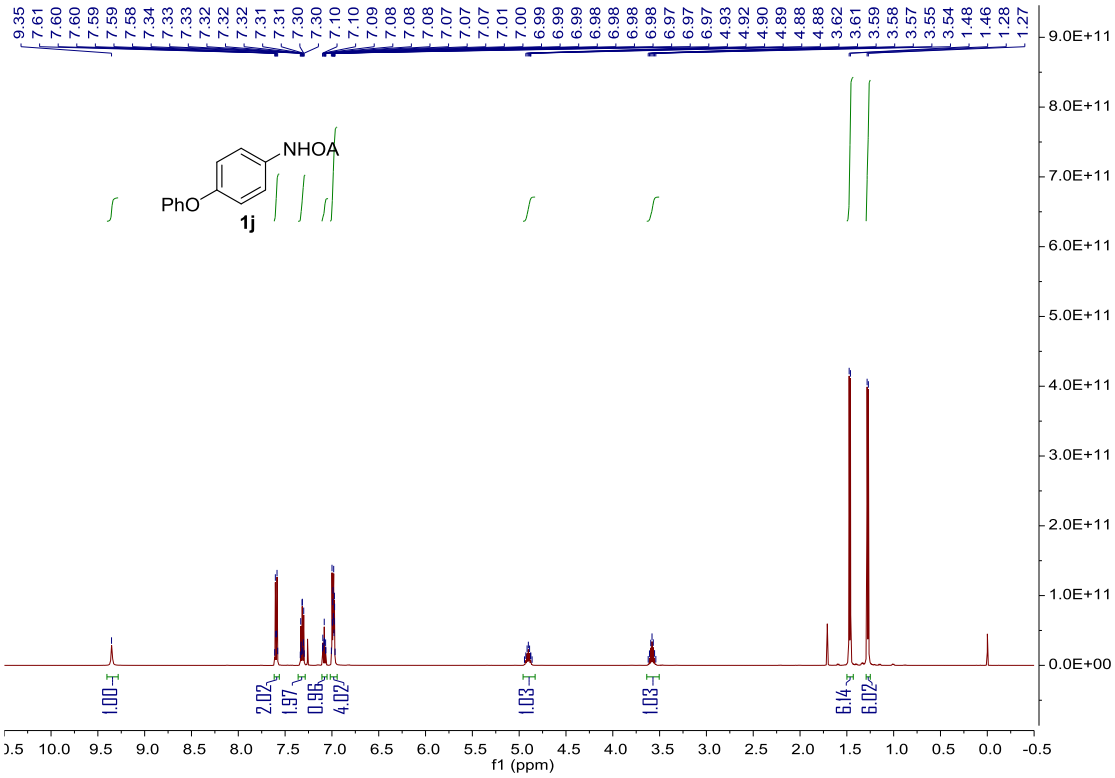
^{13}C NMR (125 MHz) of **1i** in $CDCl_3$



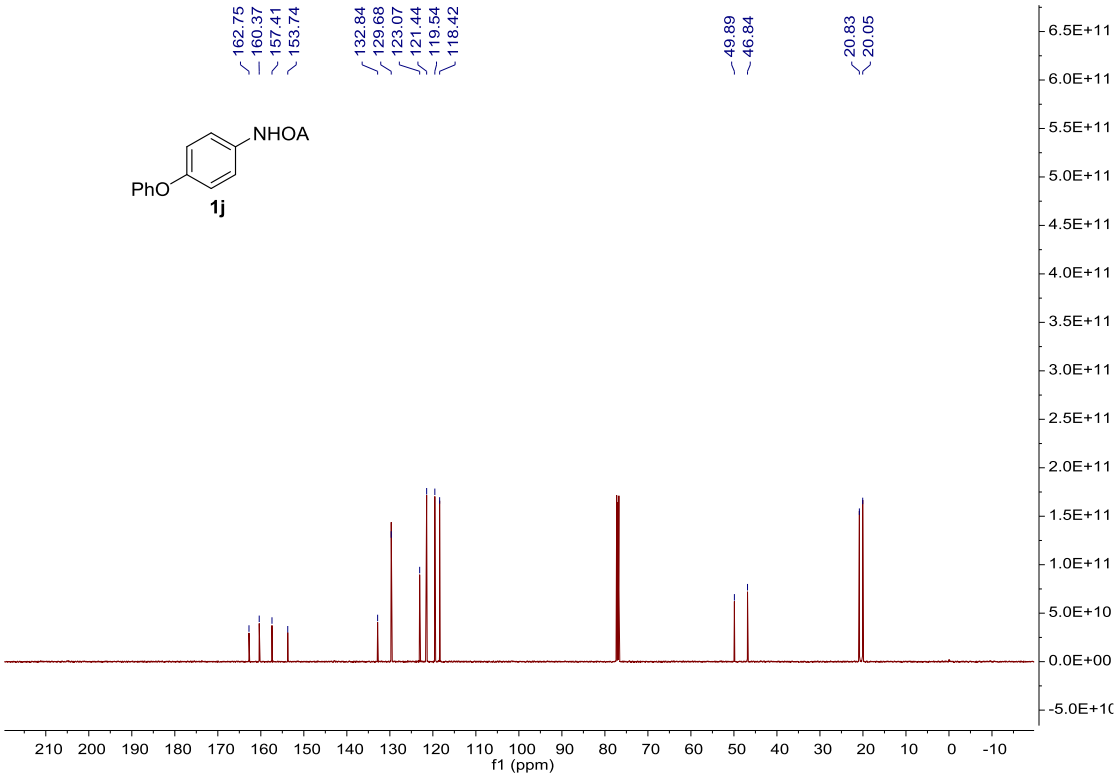
^{19}F NMR (471 MHz) of **1i** in $CDCl_3$



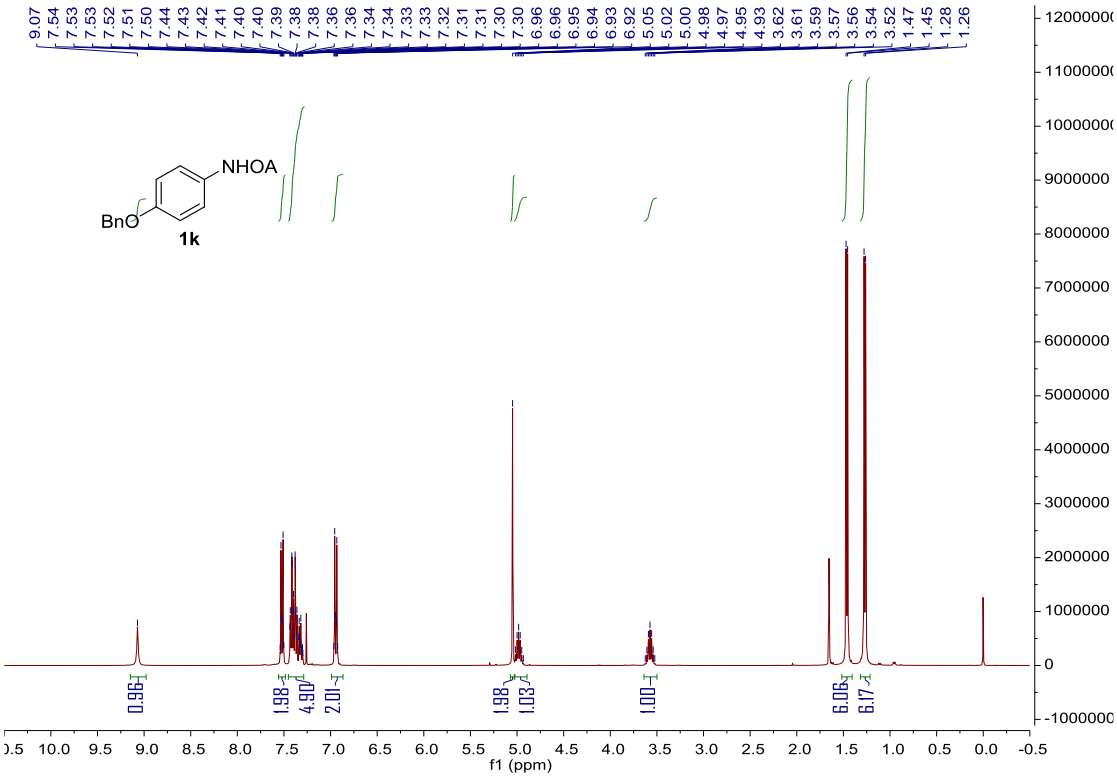
^{1}H NMR (500 MHz) of **1j** in $CDCl_3$



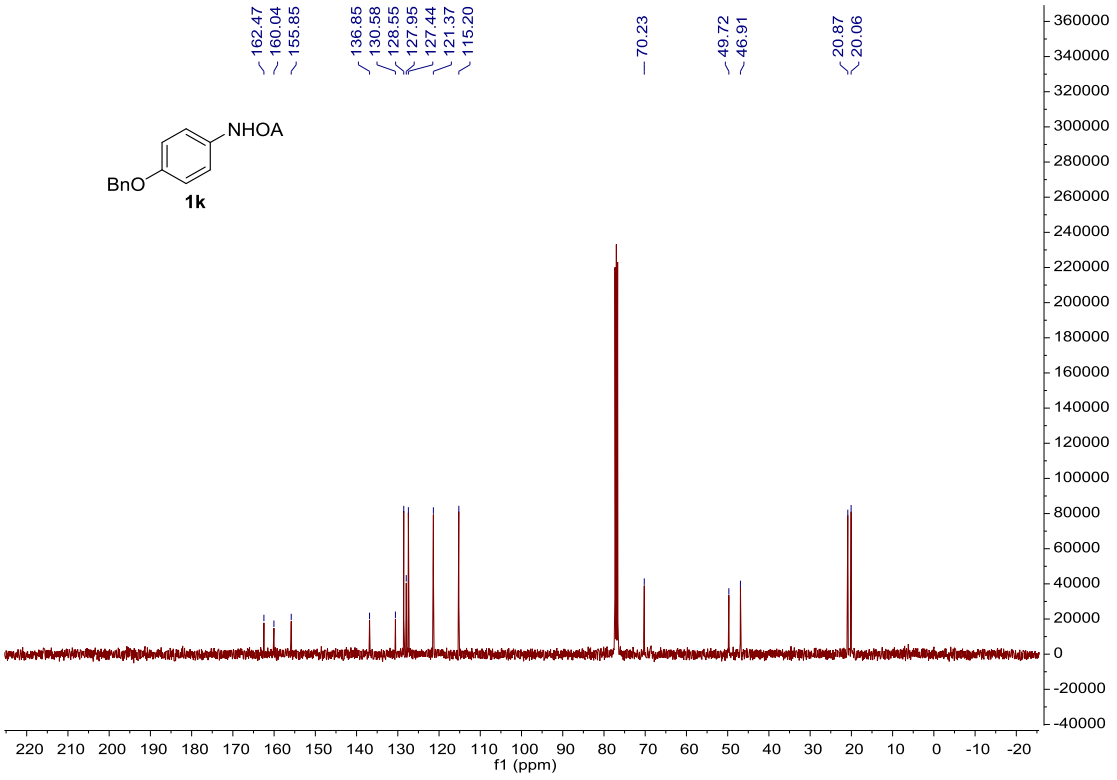
^{13}C NMR (125 MHz) of **1j** in $CDCl_3$



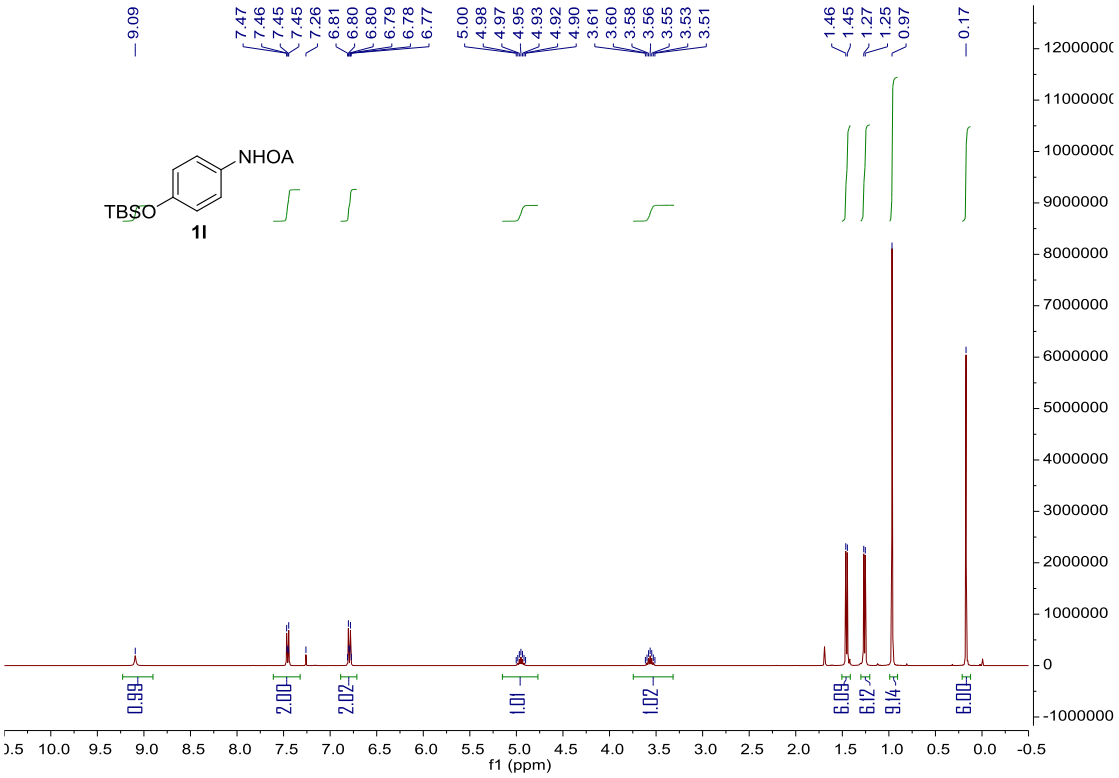
^{1}H NMR (400 MHz) of **1k** in $CDCl_3$



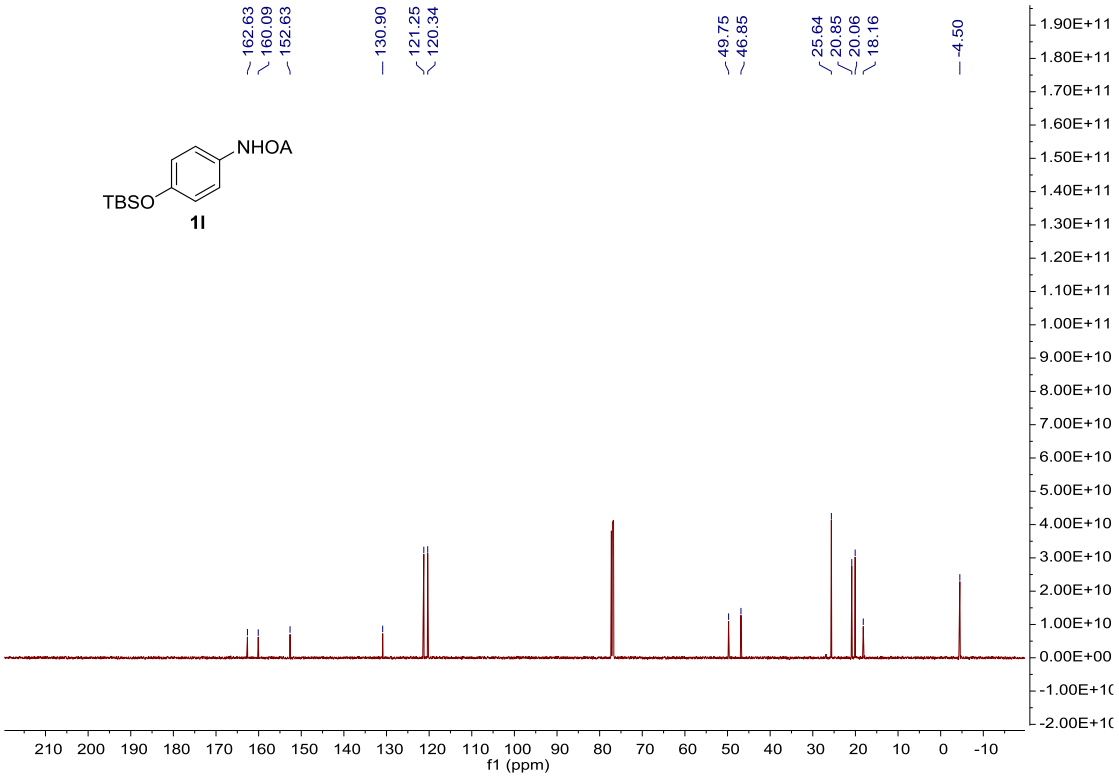
^{13}C NMR (125 MHz) of **1k** in $CDCl_3$



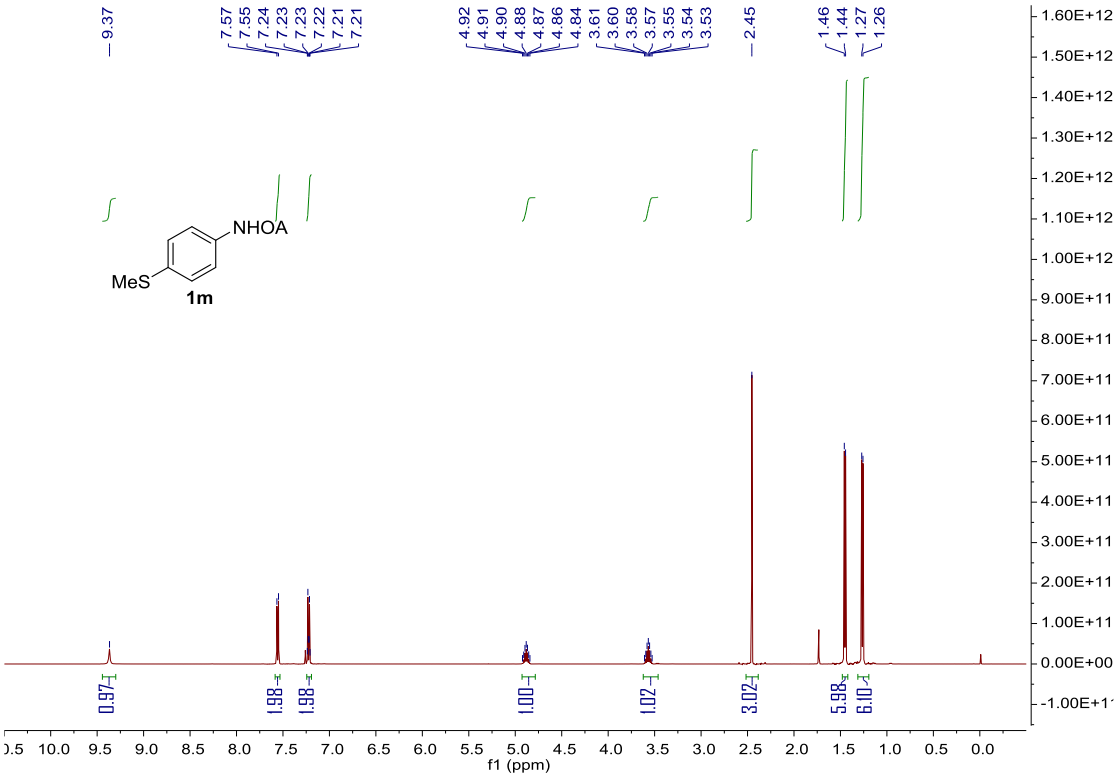
^{1}H NMR (400 MHz) of **1l** in $CDCl_3$



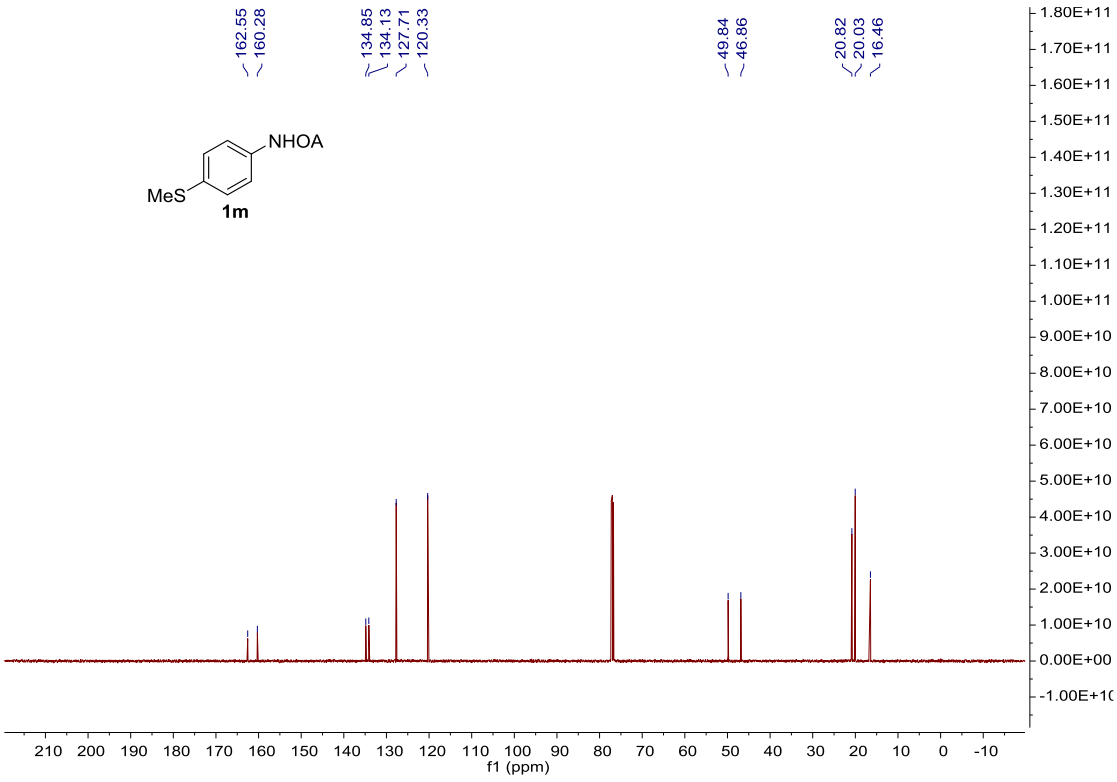
^{13}C NMR (125 MHz) of **1l** in $CDCl_3$



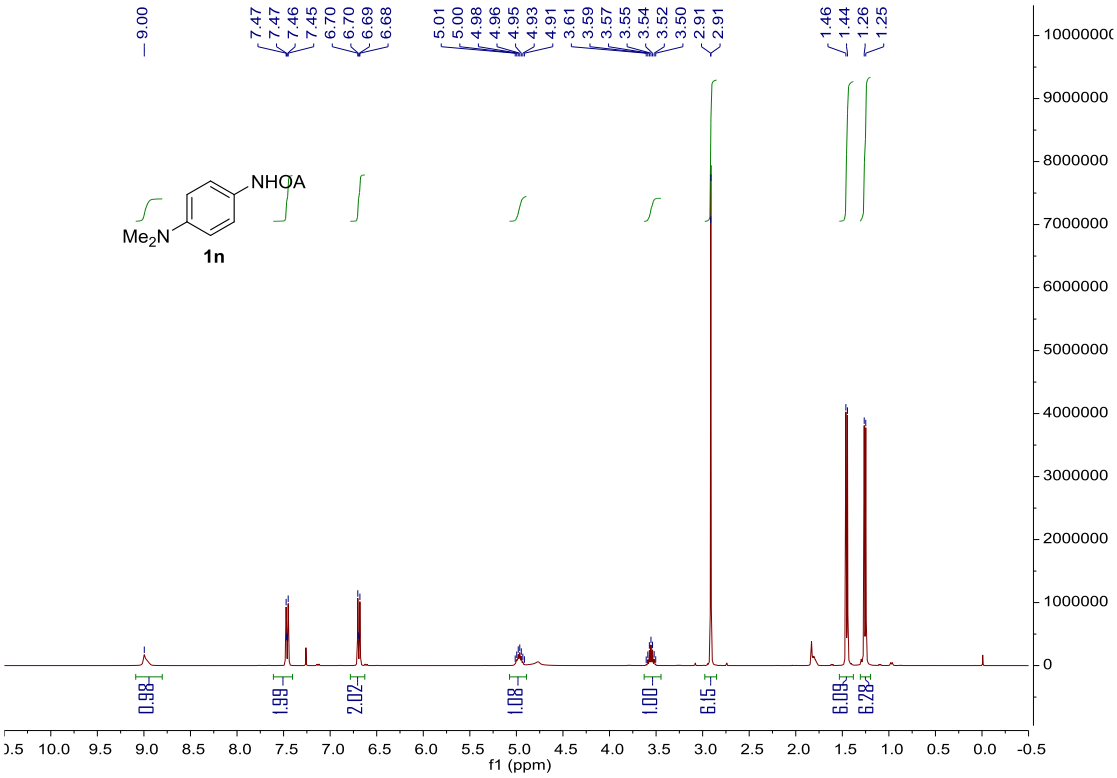
^{1}H NMR (500 MHz) of **1m** in $CDCl_3$



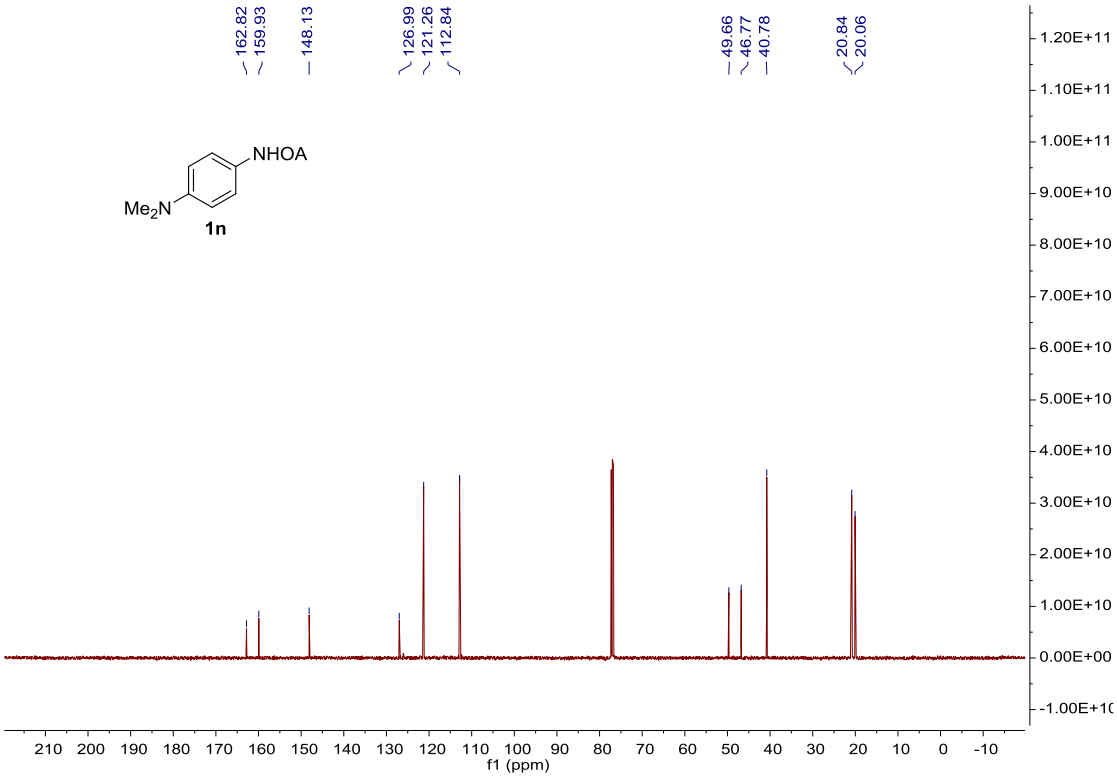
^{13}C NMR (125 MHz) of **1m** in $CDCl_3$



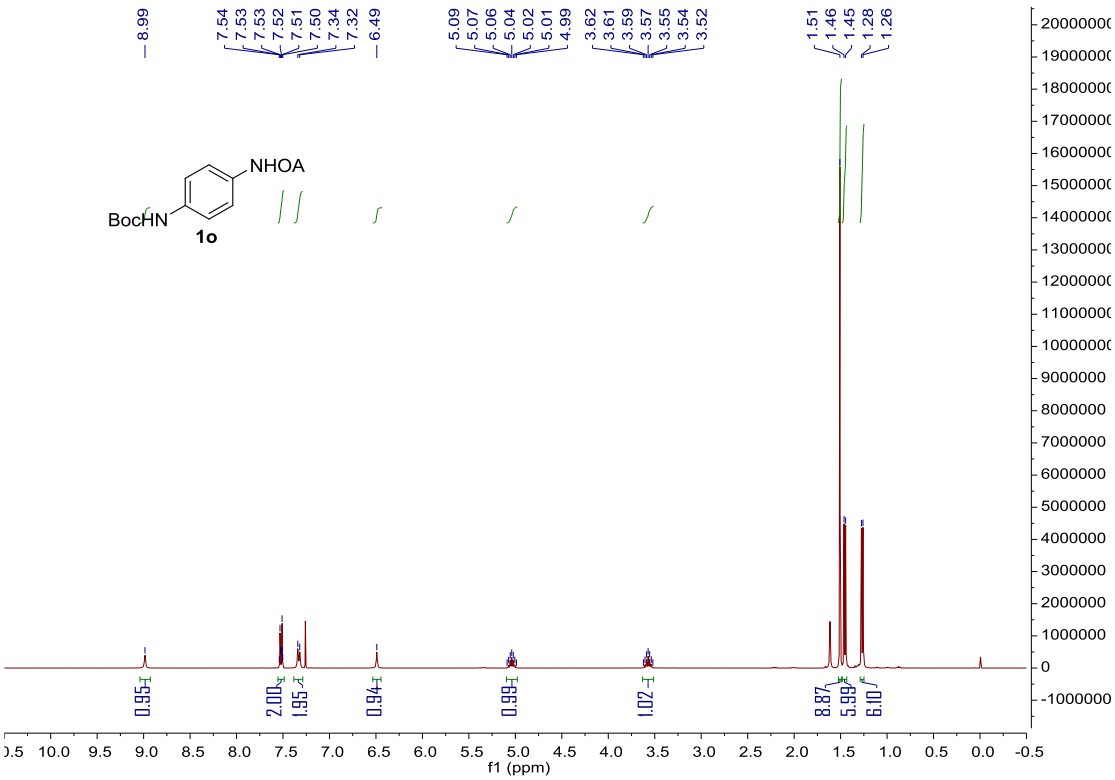
^{1}H NMR (400 MHz) of **1n** in $CDCl_3$



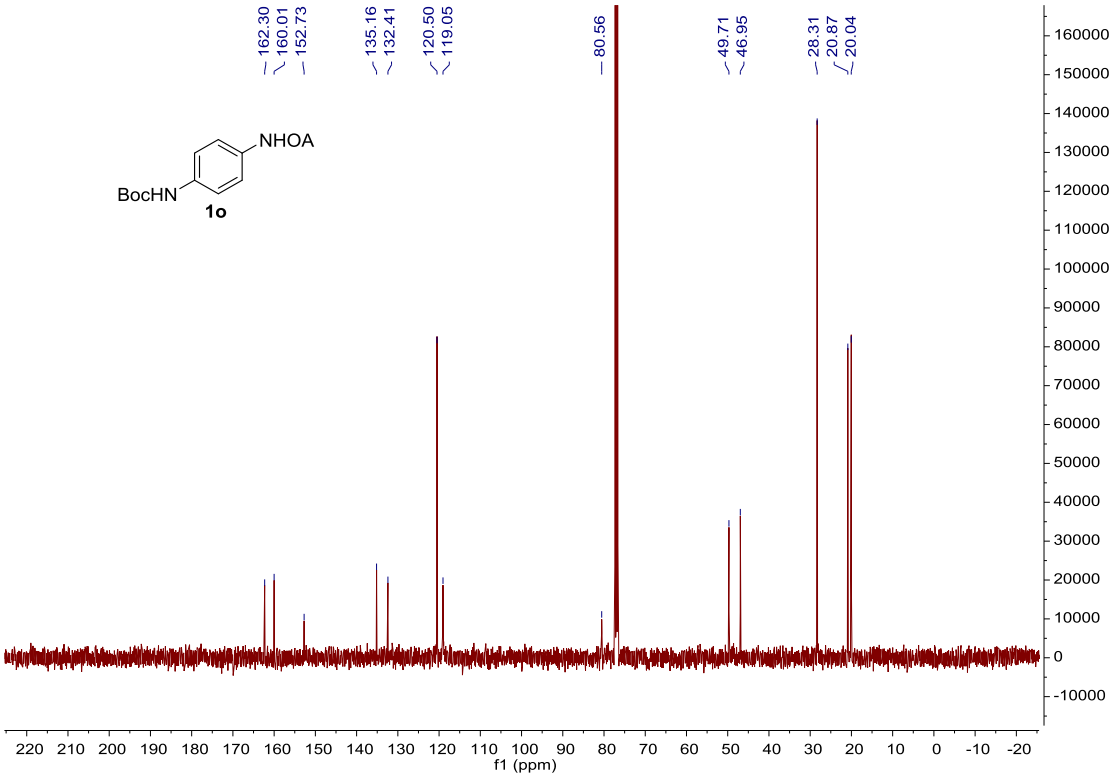
^{13}C NMR (125 MHz) of **1n** in $CDCl_3$



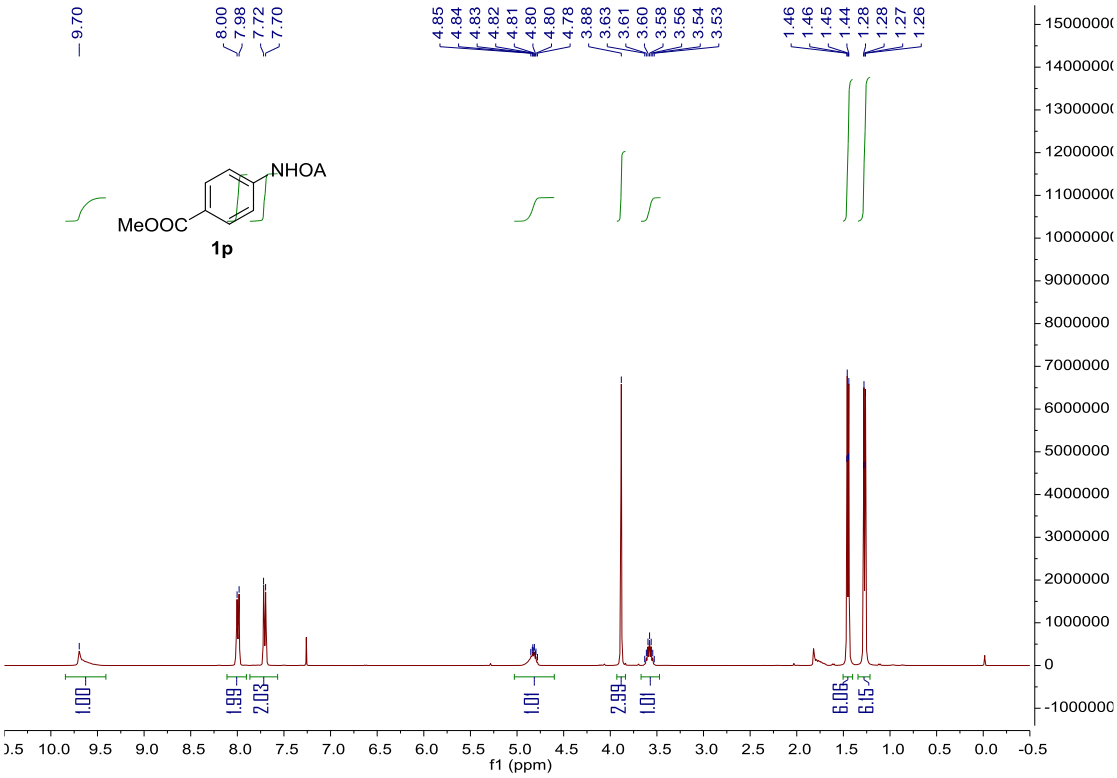
^{1}H NMR (400 MHz) of **1o** in $CDCl_3$



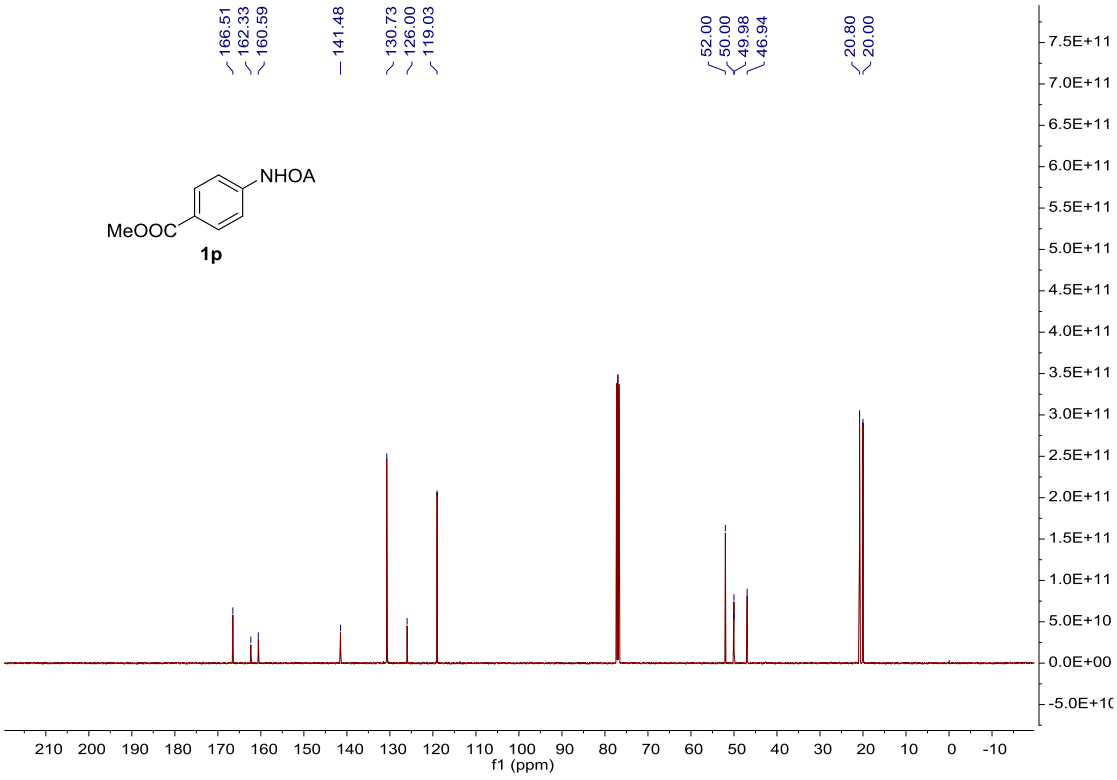
^{13}C NMR (100 MHz) of **1o** in $CDCl_3$



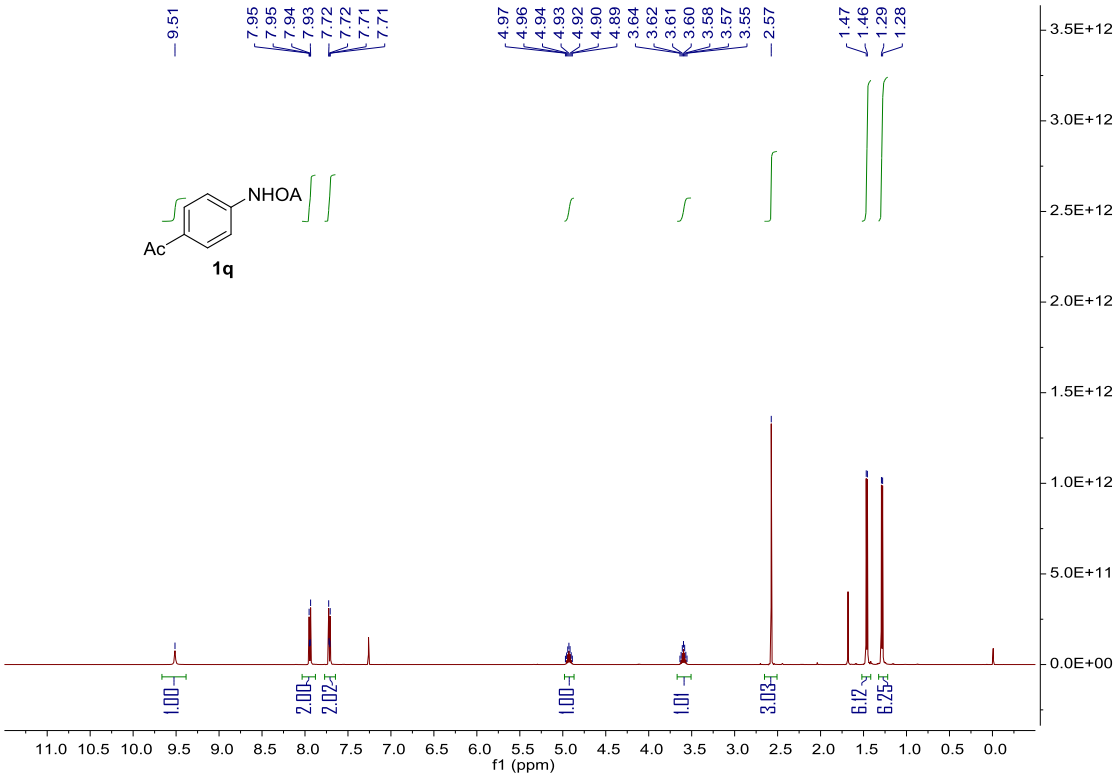
^{1}H NMR (400 MHz) of **1p** in $CDCl_3$



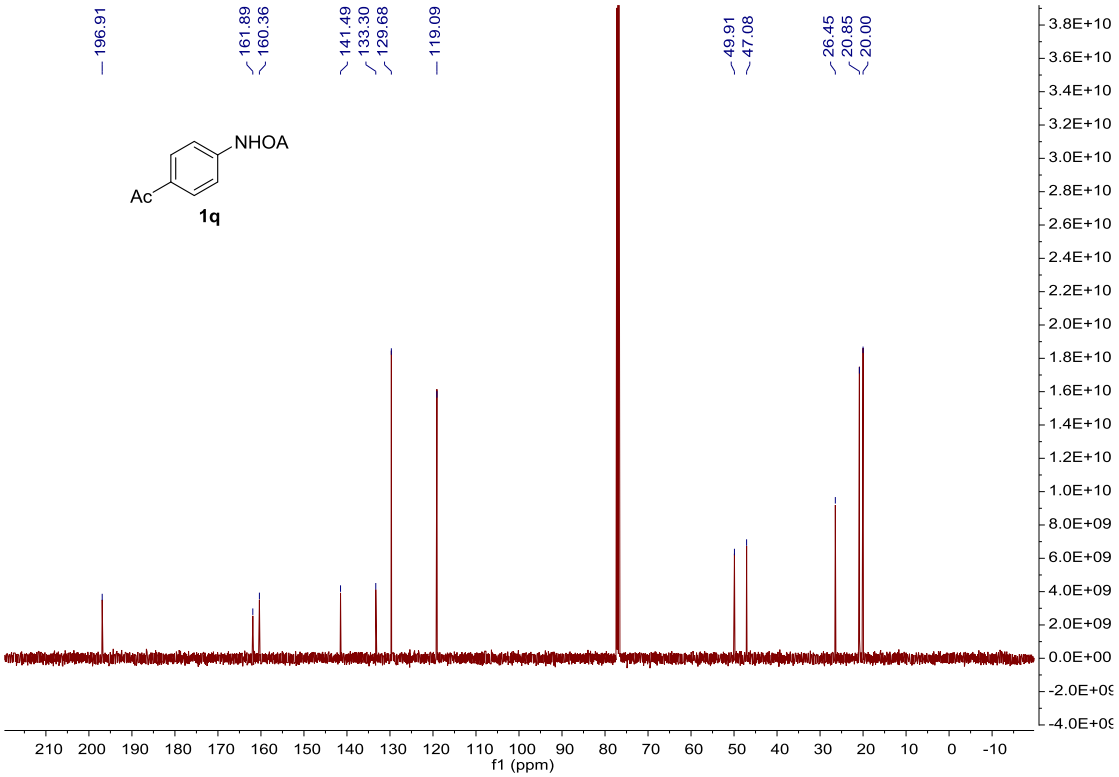
^{13}C NMR (125 MHz) of **1p** in $CDCl_3$



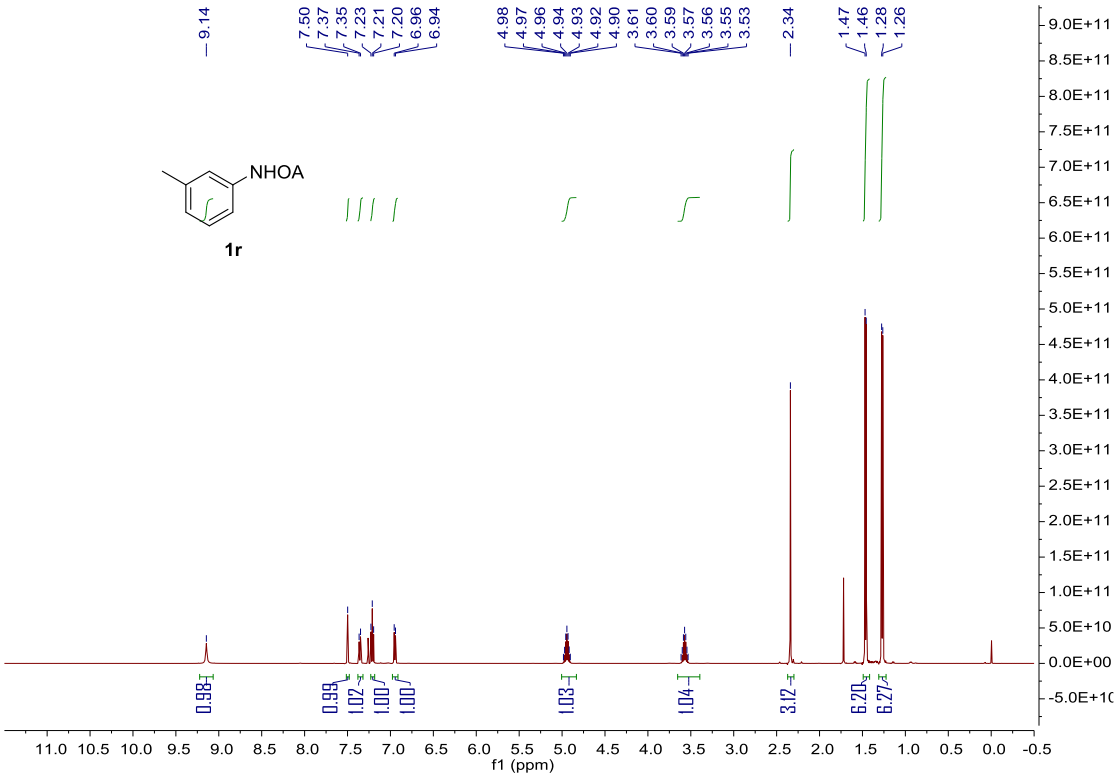
^{1}H NMR (500 MHz) of **1q** in $CDCl_3$



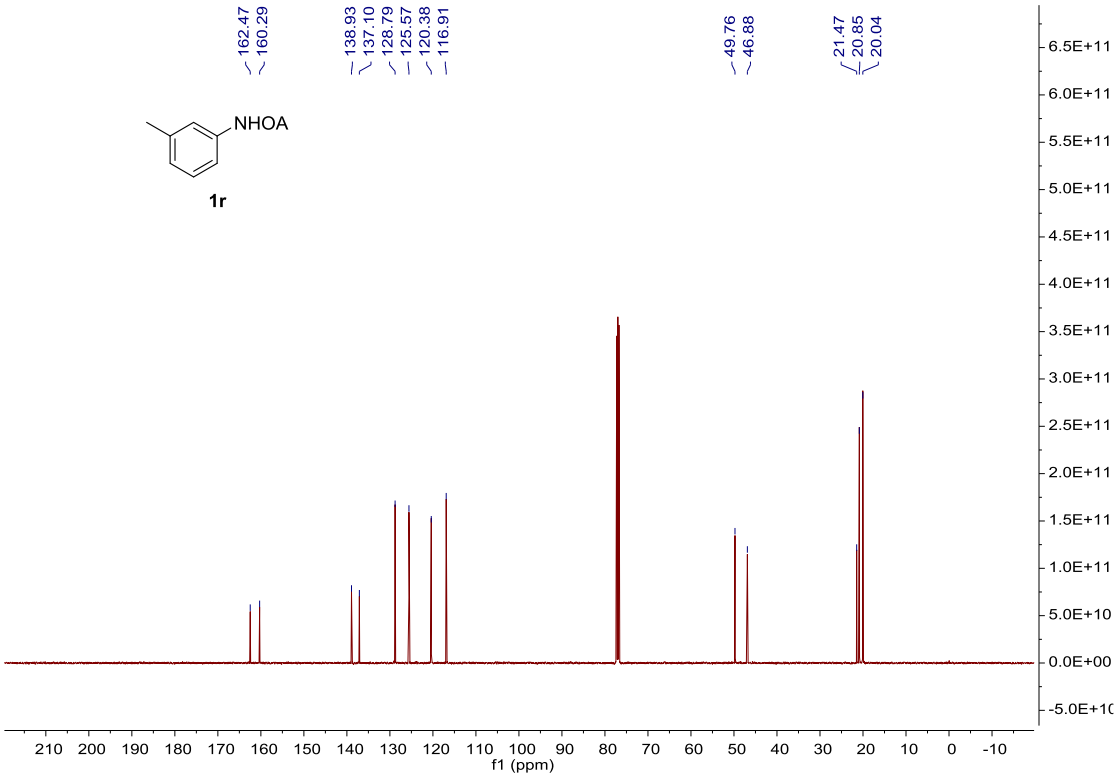
^{13}C NMR (125 MHz) of **1q** in $CDCl_3$



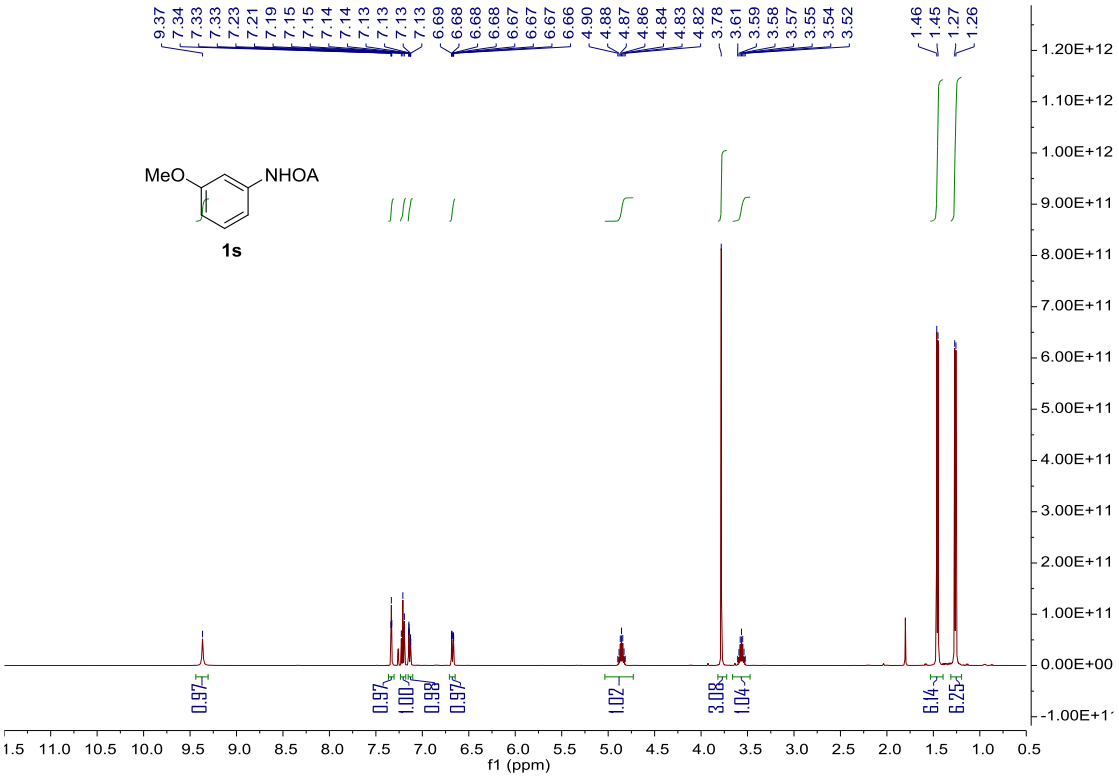
^{1}H NMR (500 MHz) of **1r** in $CDCl_3$



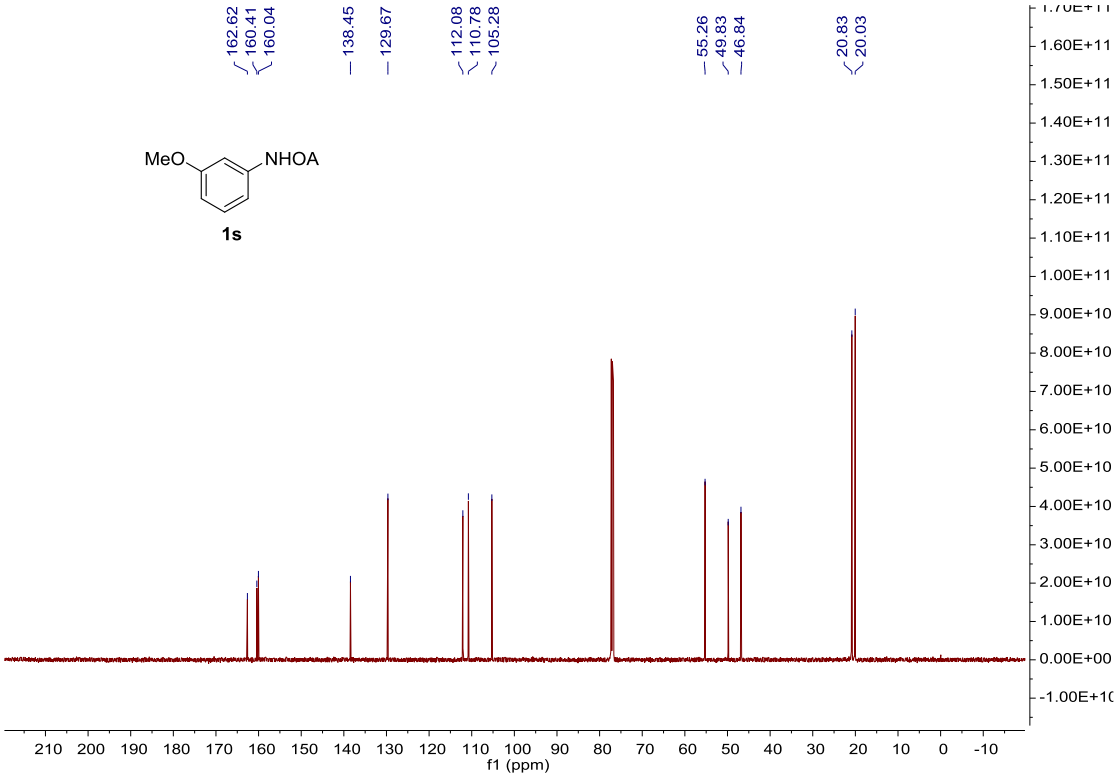
^{13}C NMR (125 MHz) of **1r** in $CDCl_3$



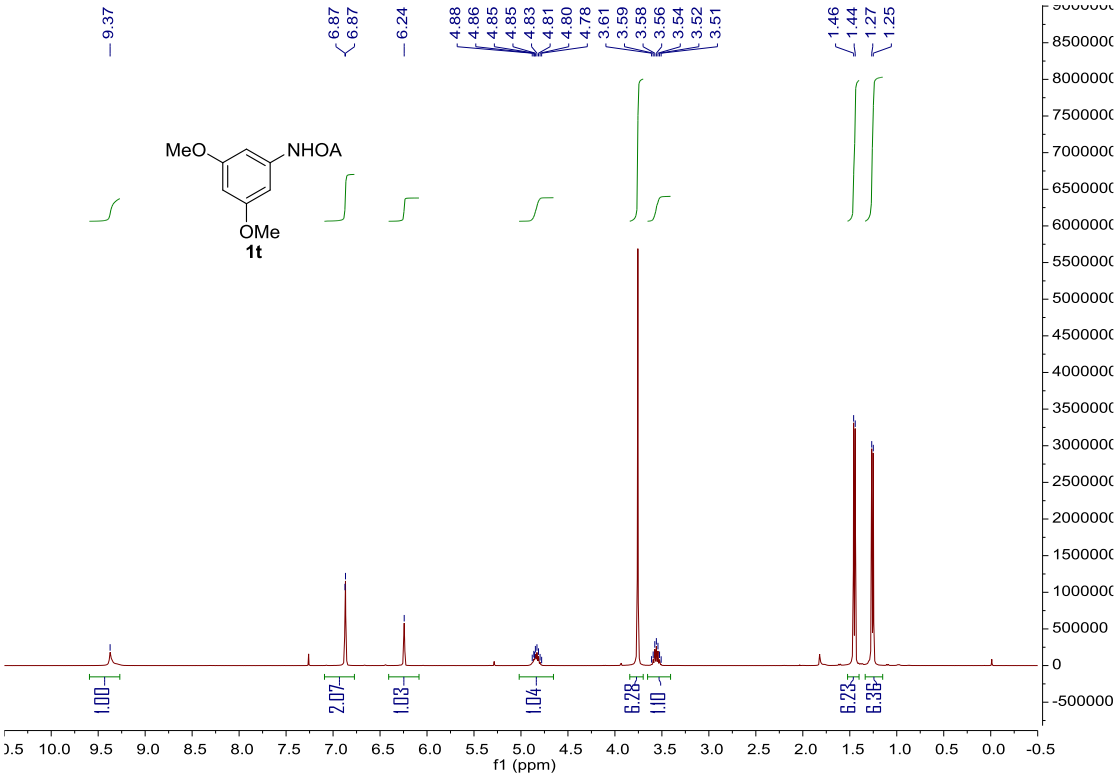
^{1}H NMR (500 MHz) of **1s** in $CDCl_3$



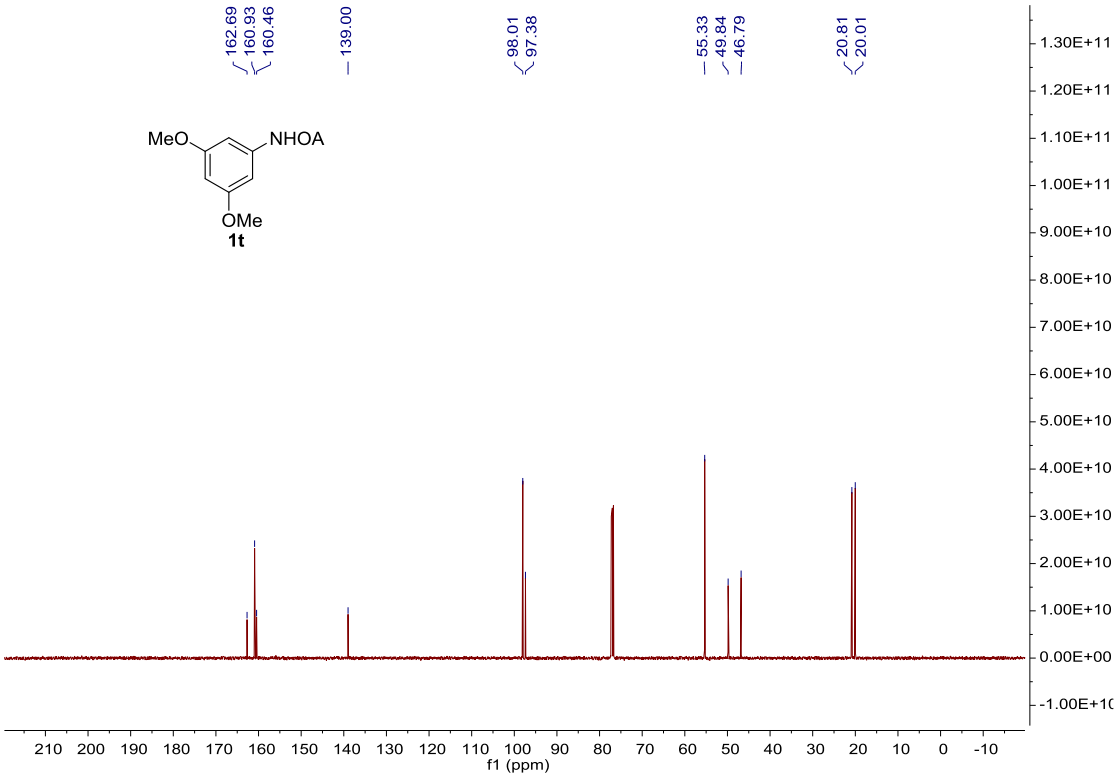
^{13}C NMR (125 MHz) of **1s** in $CDCl_3$



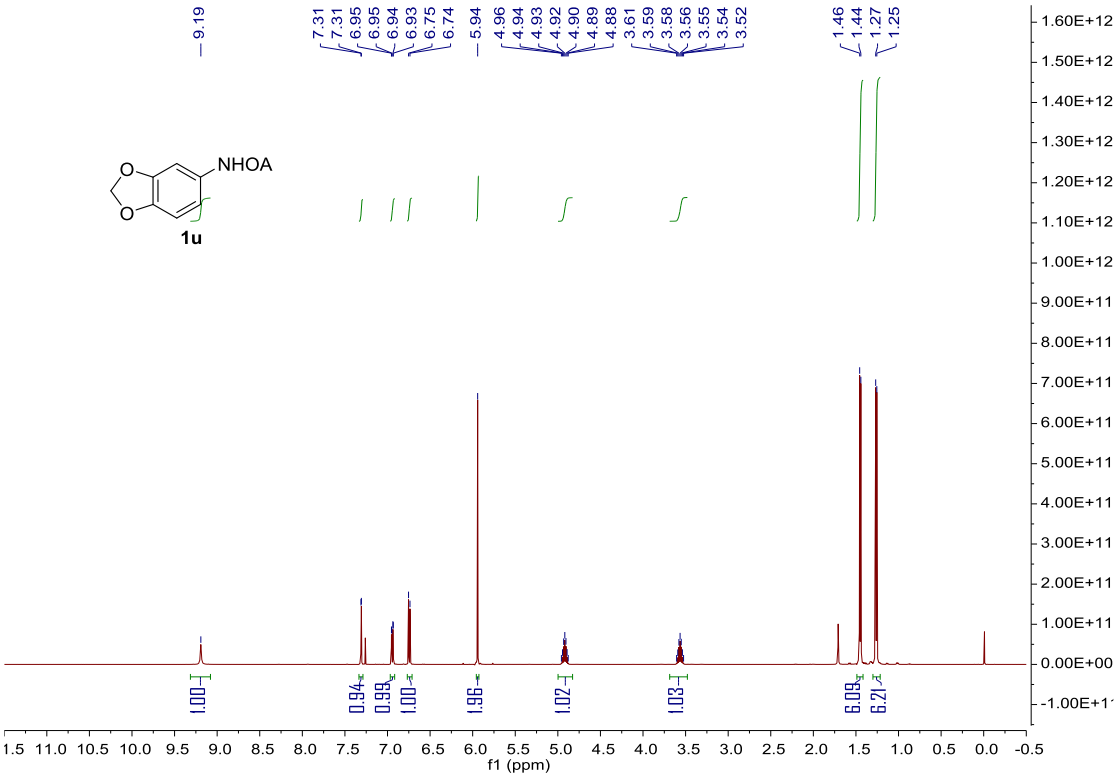
^{1}H NMR (400 MHz) of **1t** in $CDCl_3$



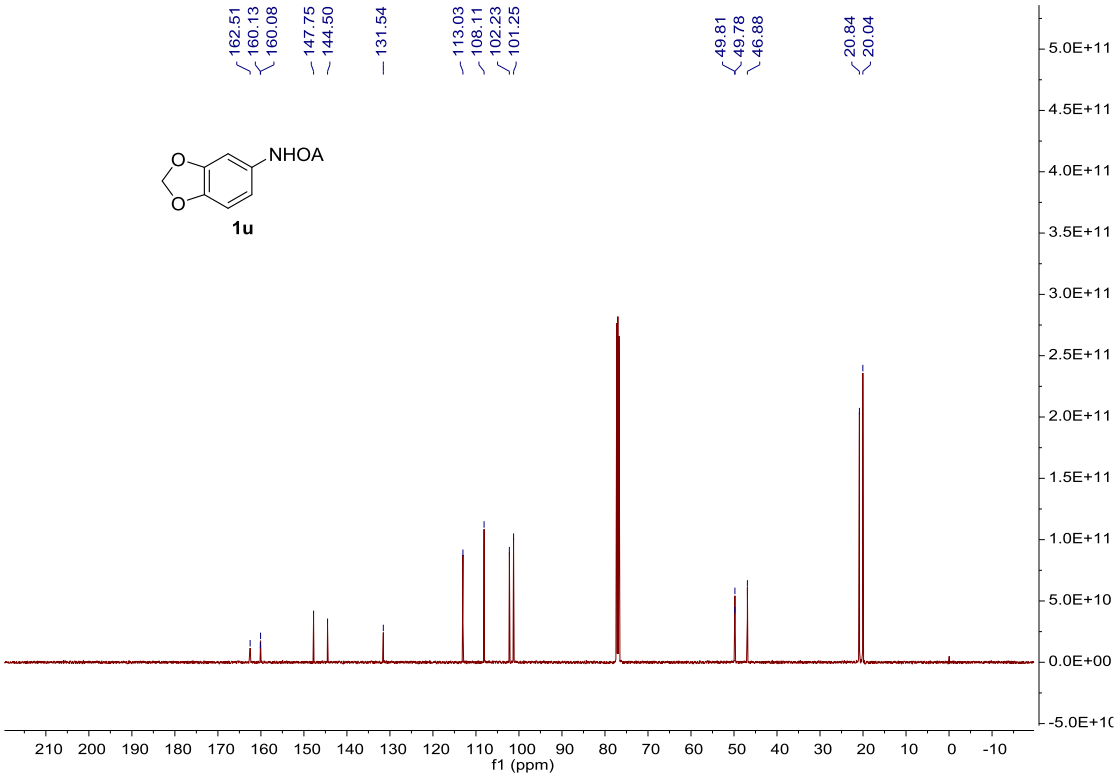
^{13}C NMR (125 MHz) of **1t** in $CDCl_3$



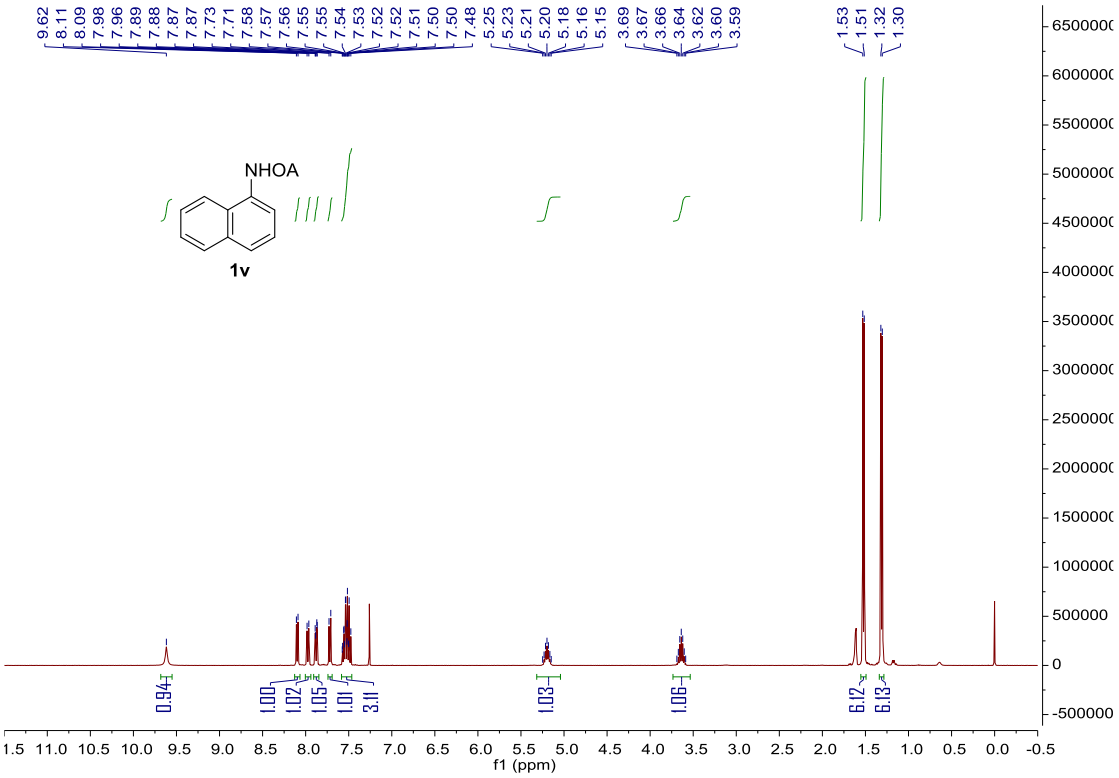
^{1}H NMR (500 MHz) of **1u** in $CDCl_3$



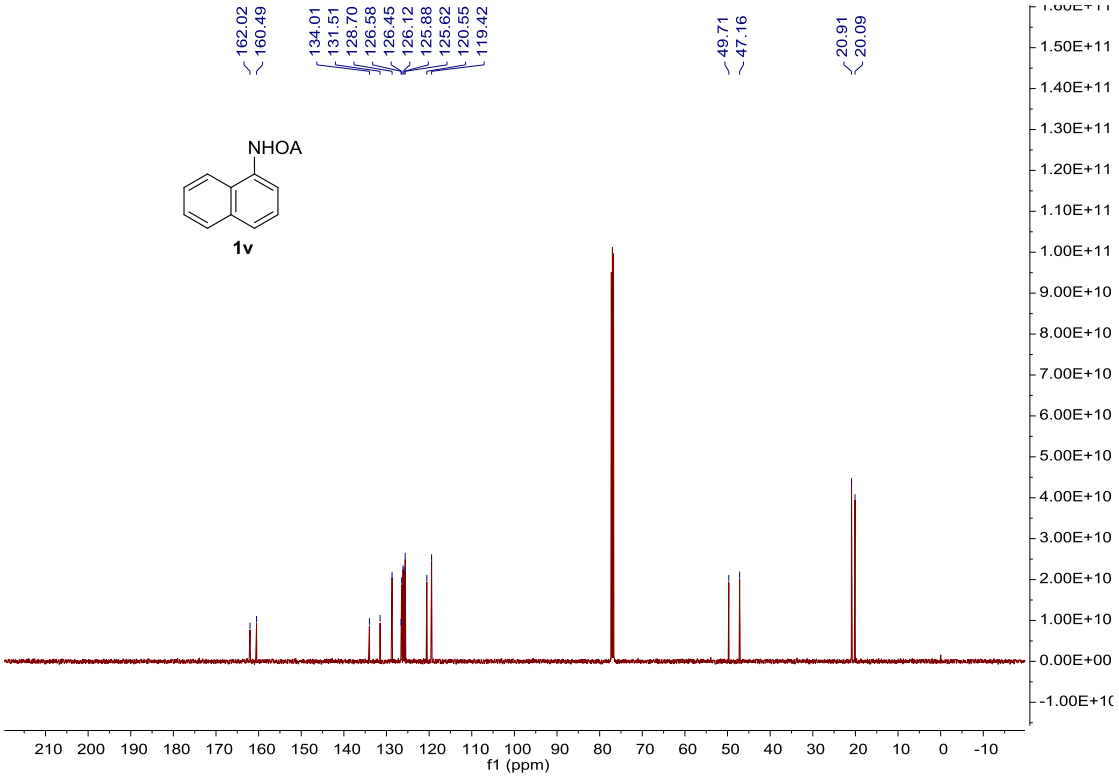
^{13}C NMR (125 MHz) of **1u** in $CDCl_3$



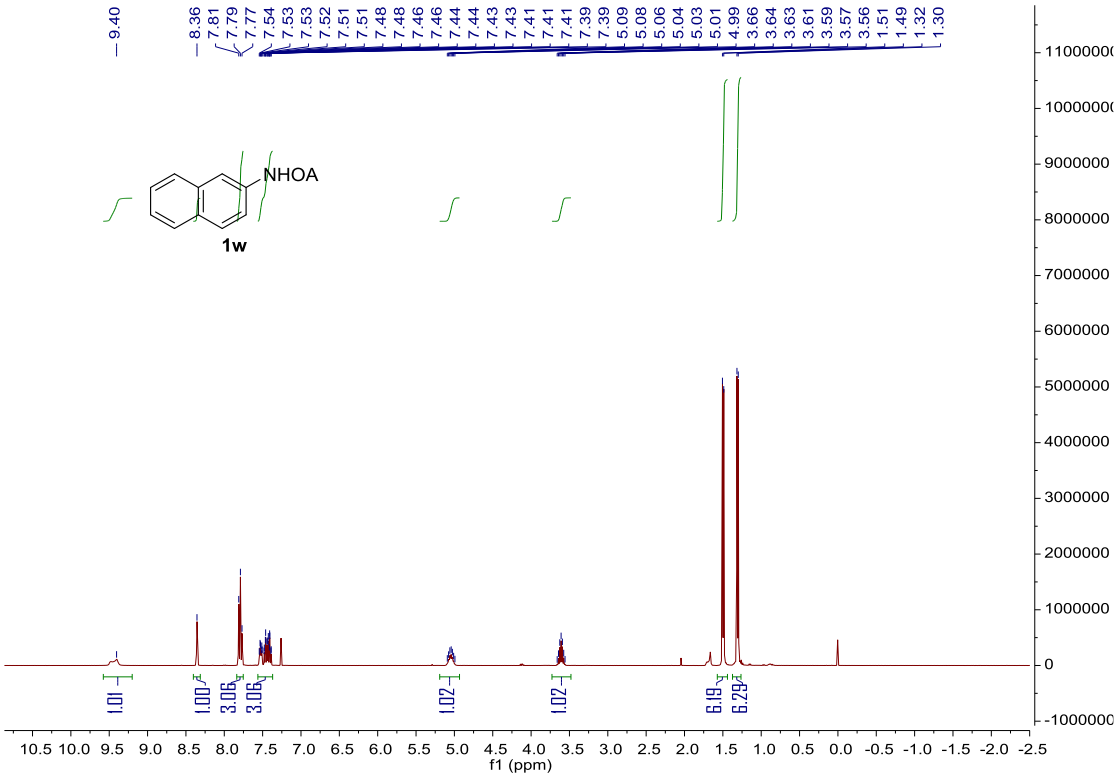
^{1}H NMR (400 MHz) of **1v** in $CDCl_3$



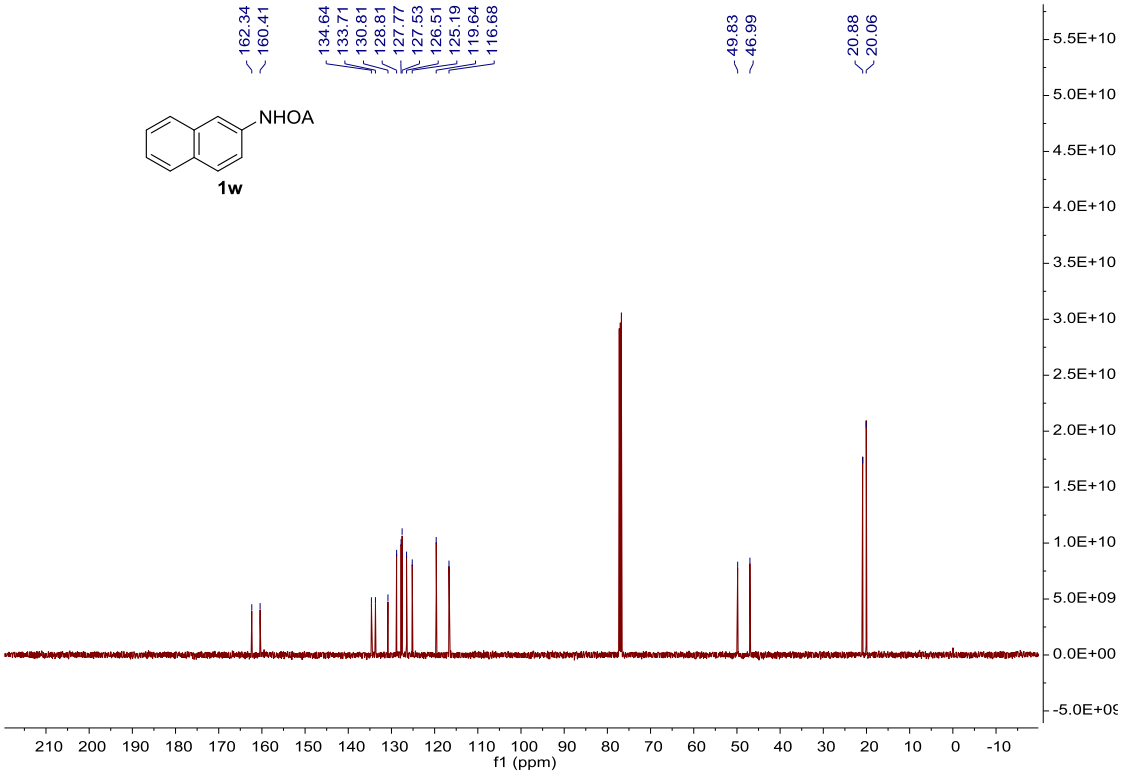
^{13}C NMR (125 MHz) of **1v** in $CDCl_3$



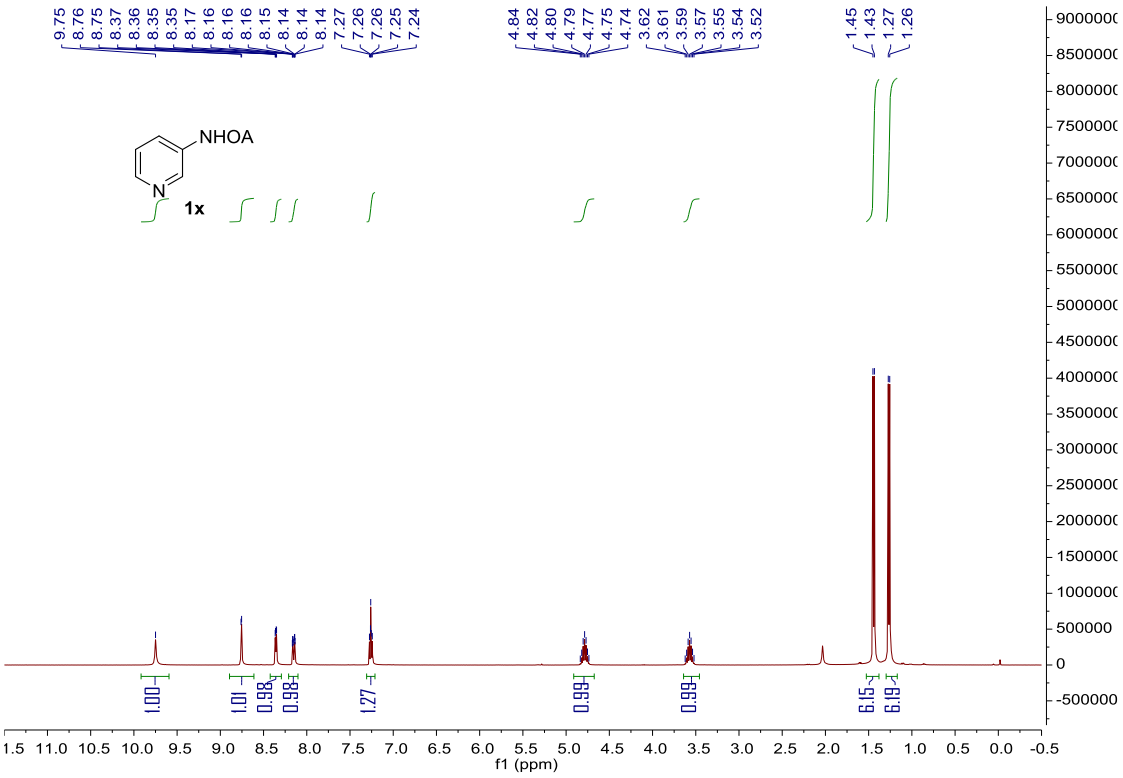
^{1}H NMR (400 MHz) of **1w** in $CDCl_3$



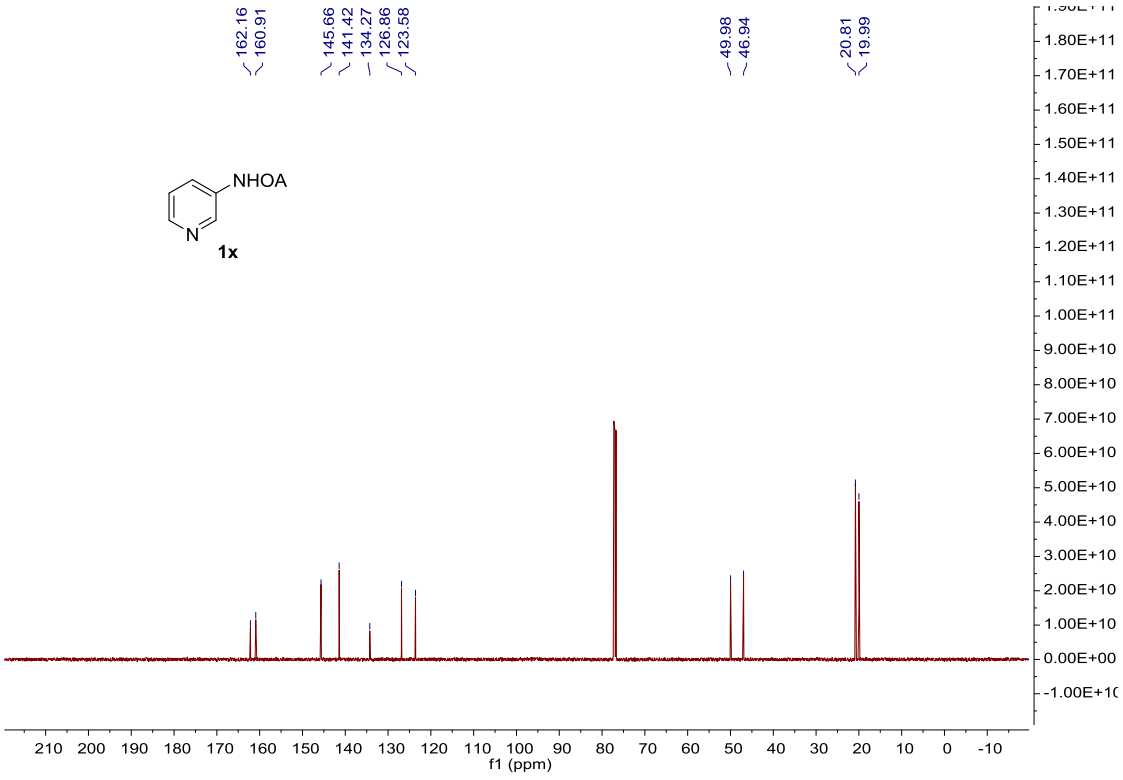
^{13}C NMR (125 MHz) of **1w** in $CDCl_3$



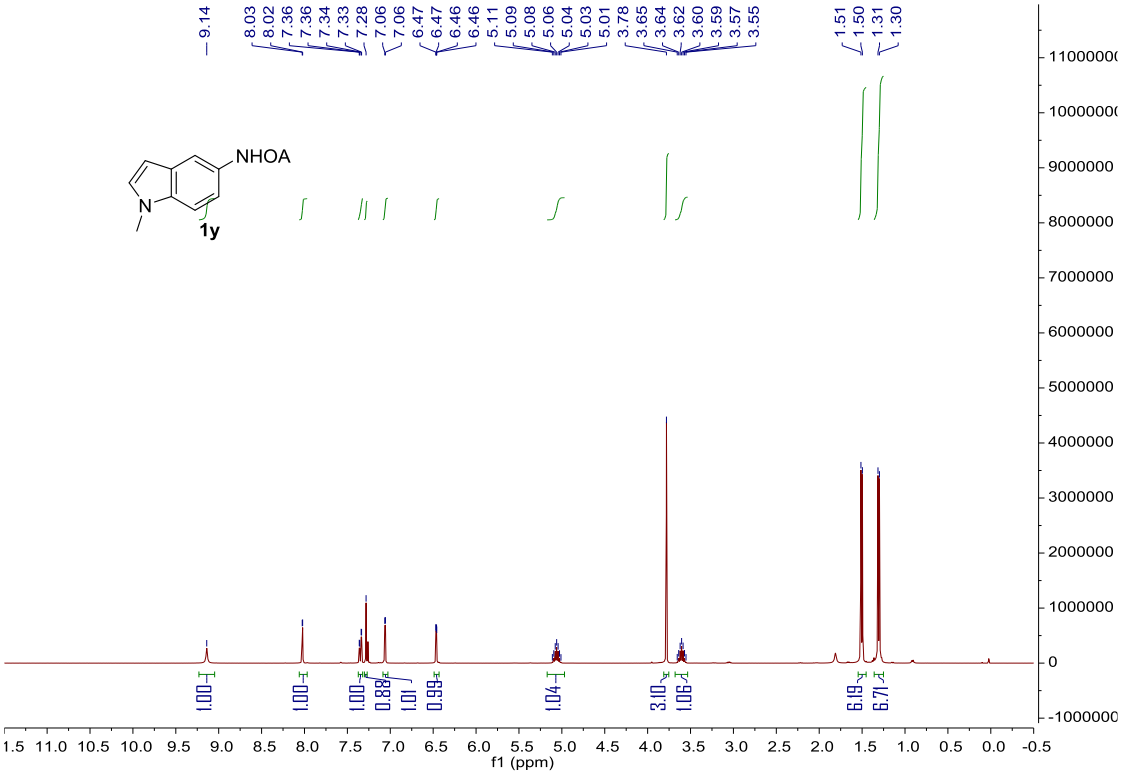
^{1}H NMR (400 MHz) of **1x** in $CDCl_3$



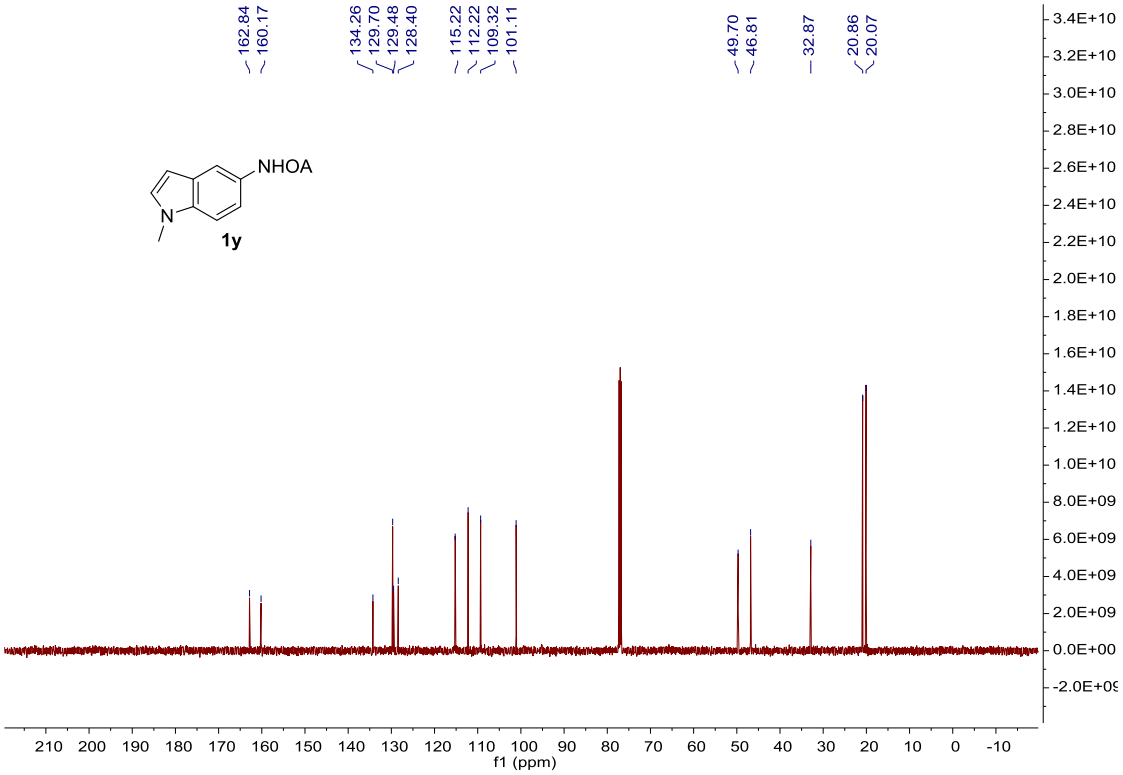
^{13}C NMR (125 MHz) of **1x** in $CDCl_3$



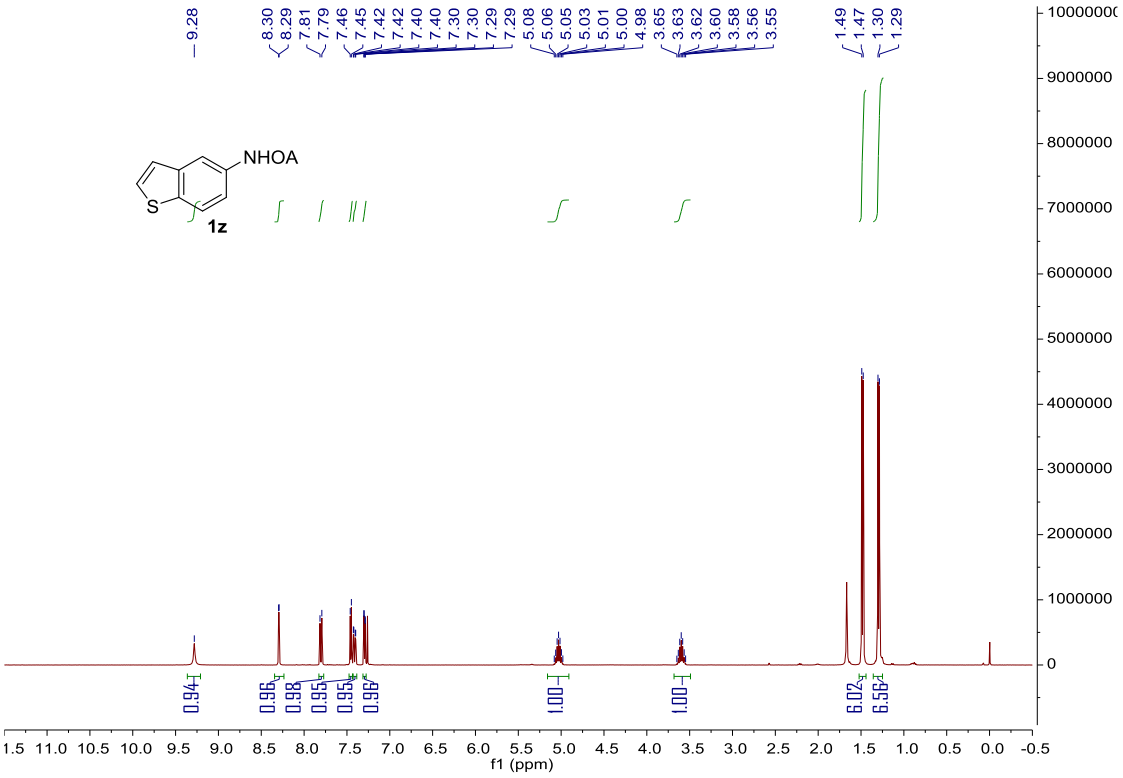
^{1}H NMR (400 MHz) of **1y** in $CDCl_3$



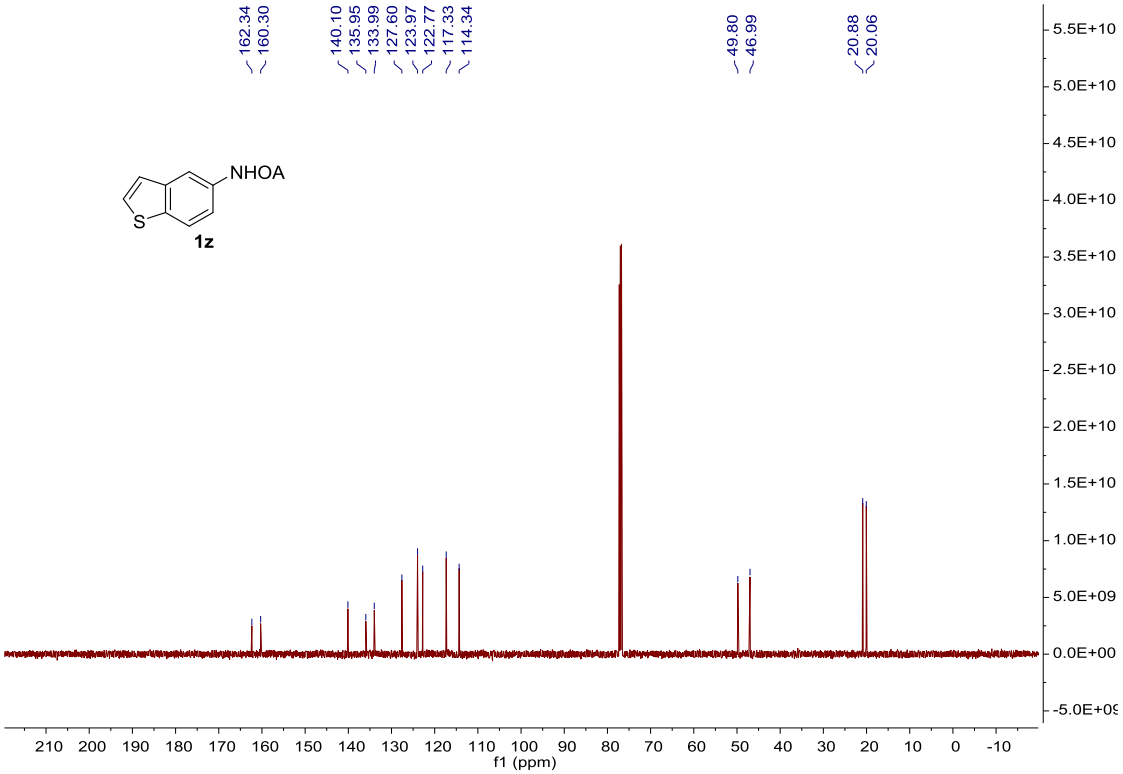
^{13}C NMR (125 MHz) of **1y** in $CDCl_3$



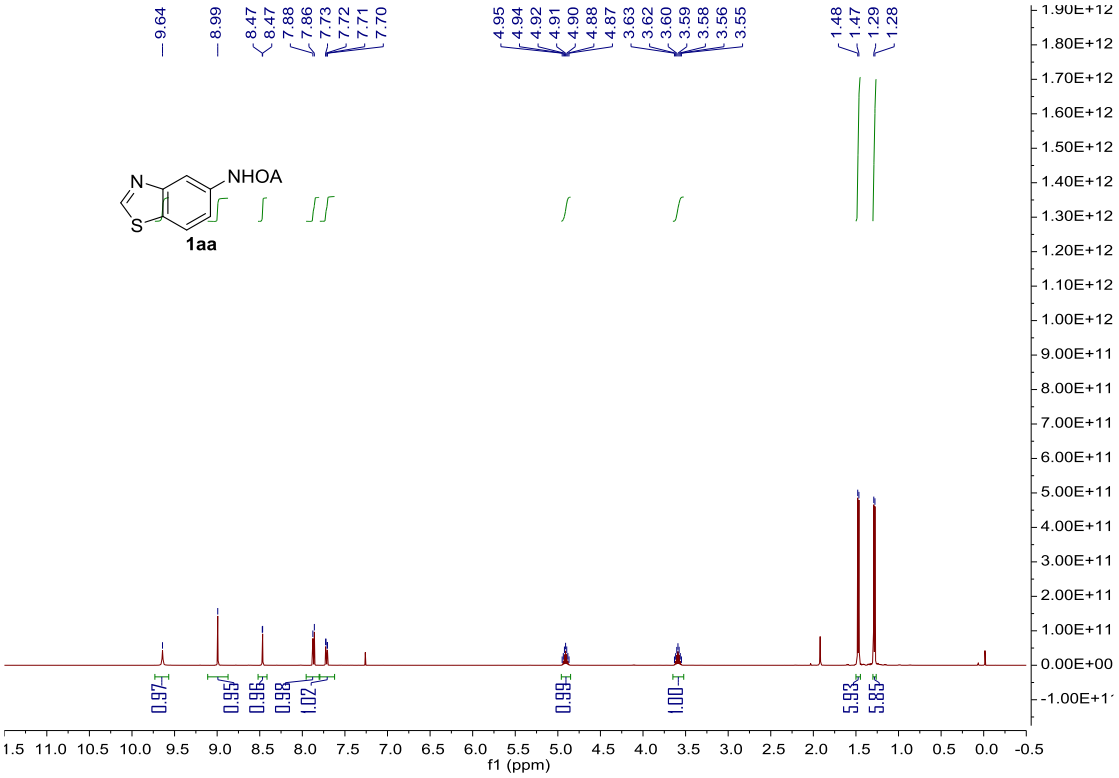
^{1}H NMR (400 MHz) of **1z** in $CDCl_3$



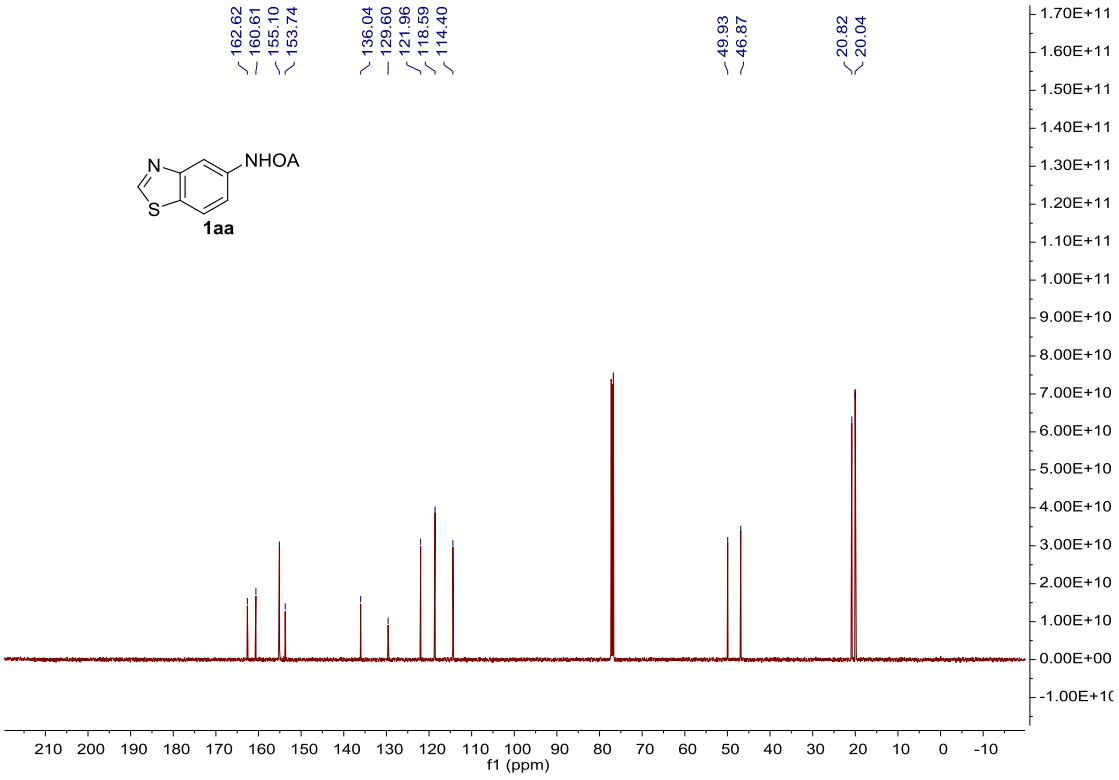
^{13}C NMR (125 MHz) of **1z** in $CDCl_3$



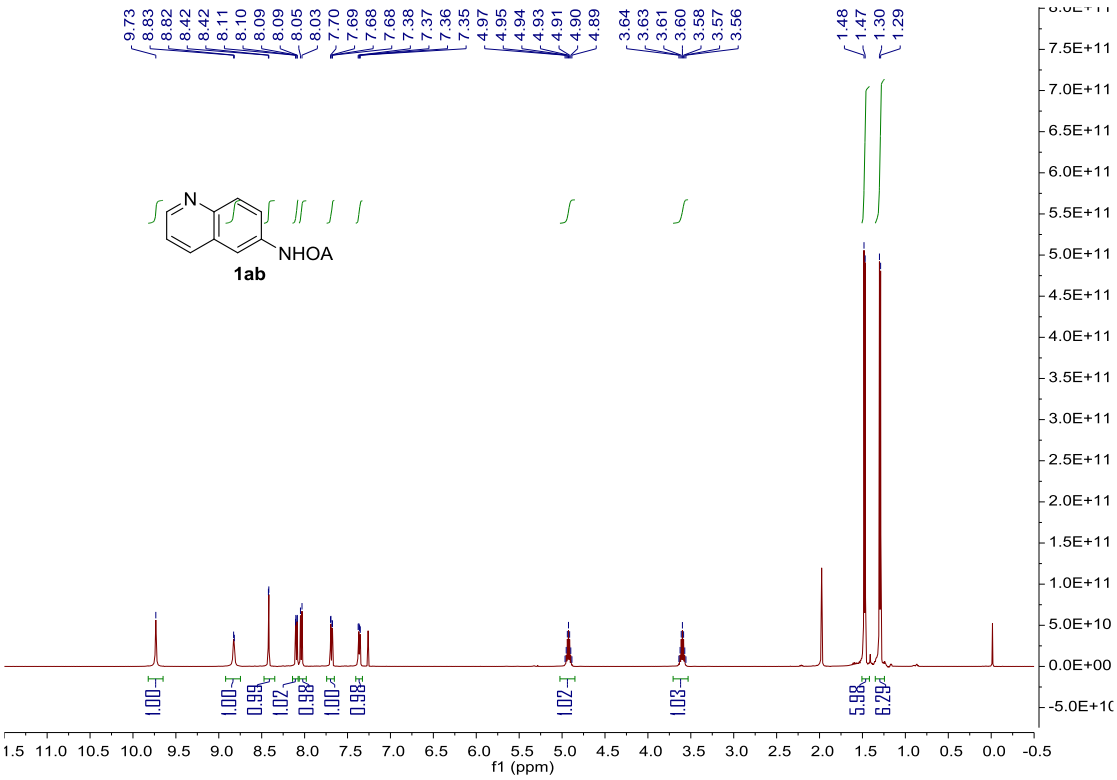
^{1}H NMR (500 MHz) of **1aa** in $CDCl_3$



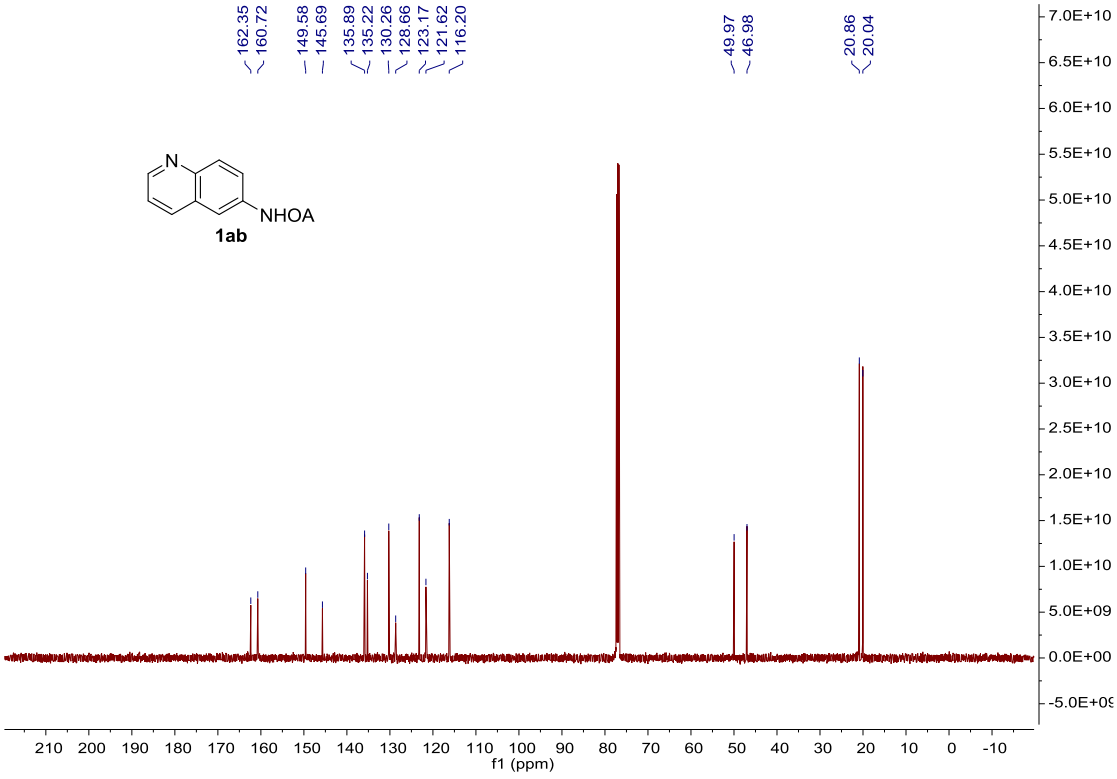
^{13}C NMR (125 MHz) of **1aa** in $CDCl_3$



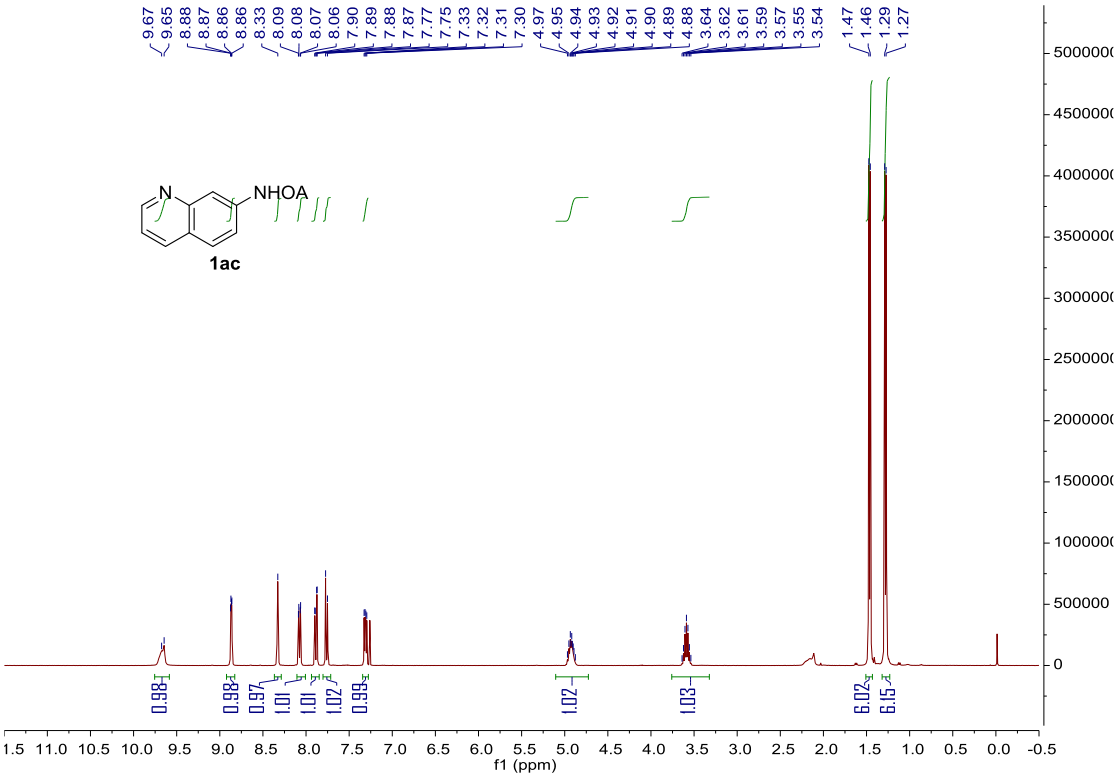
^{1}H NMR (500 MHz) of **1ab** in $CDCl_3$



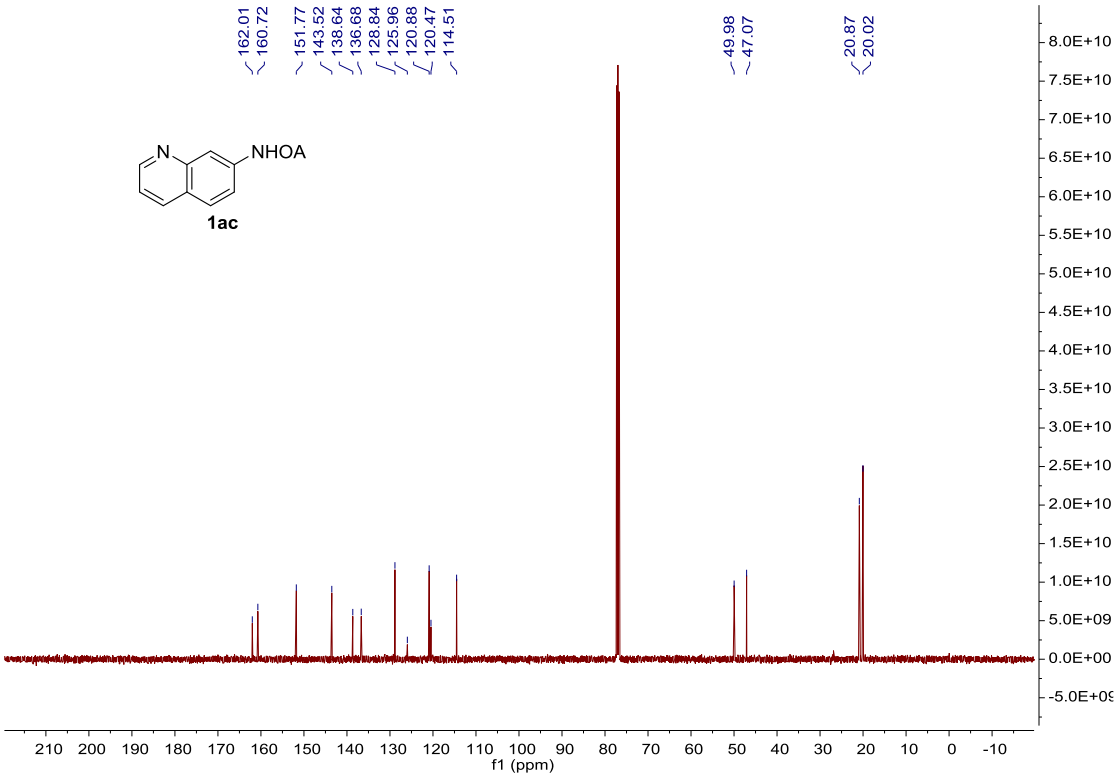
^{13}C NMR (125 MHz) of **1ab** in $CDCl_3$



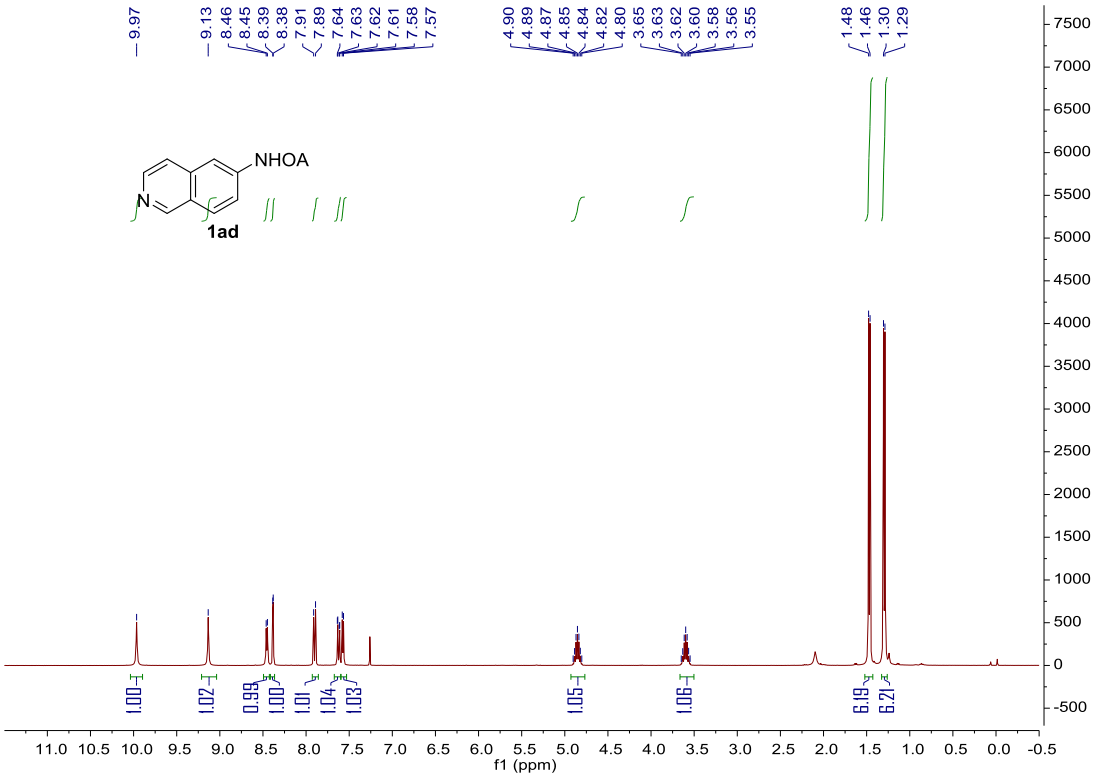
^{1}H NMR (500 MHz) of **1ac** in $CDCl_3$



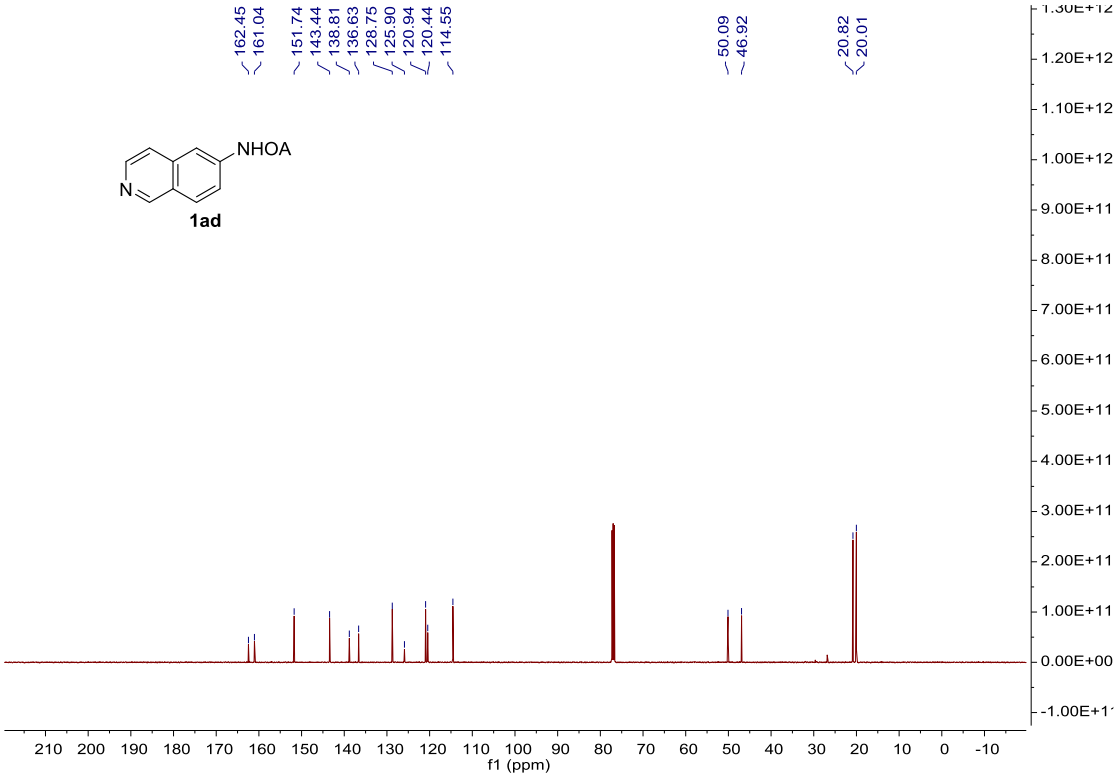
^{13}C NMR (125 MHz) of **1ac** in $CDCl_3$



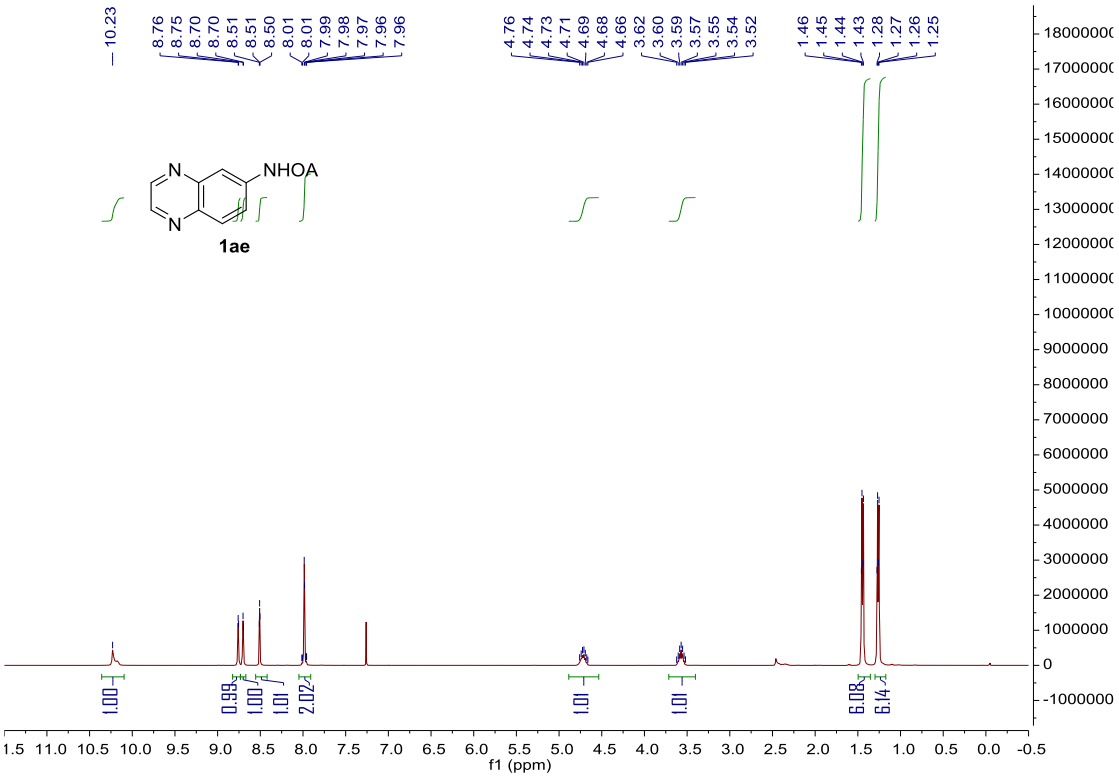
^{1}H NMR (400 MHz) of **1ad** in $CDCl_3$



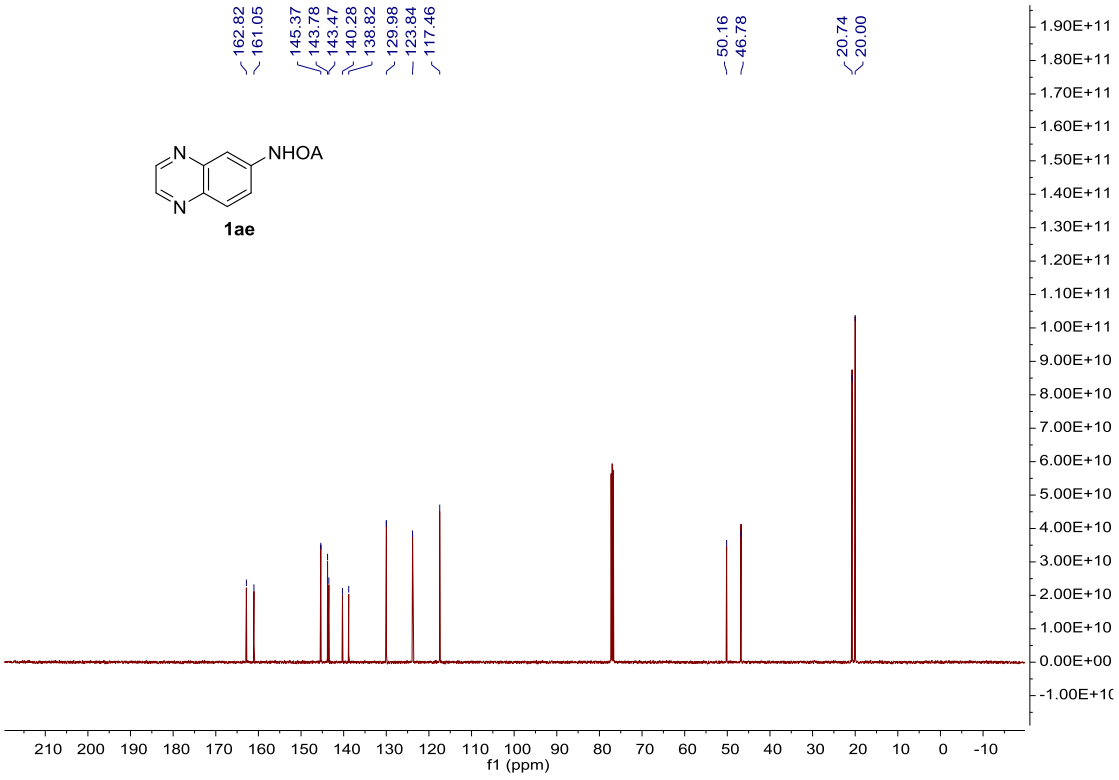
^{13}C NMR (125 MHz) of **1ad** in $CDCl_3$



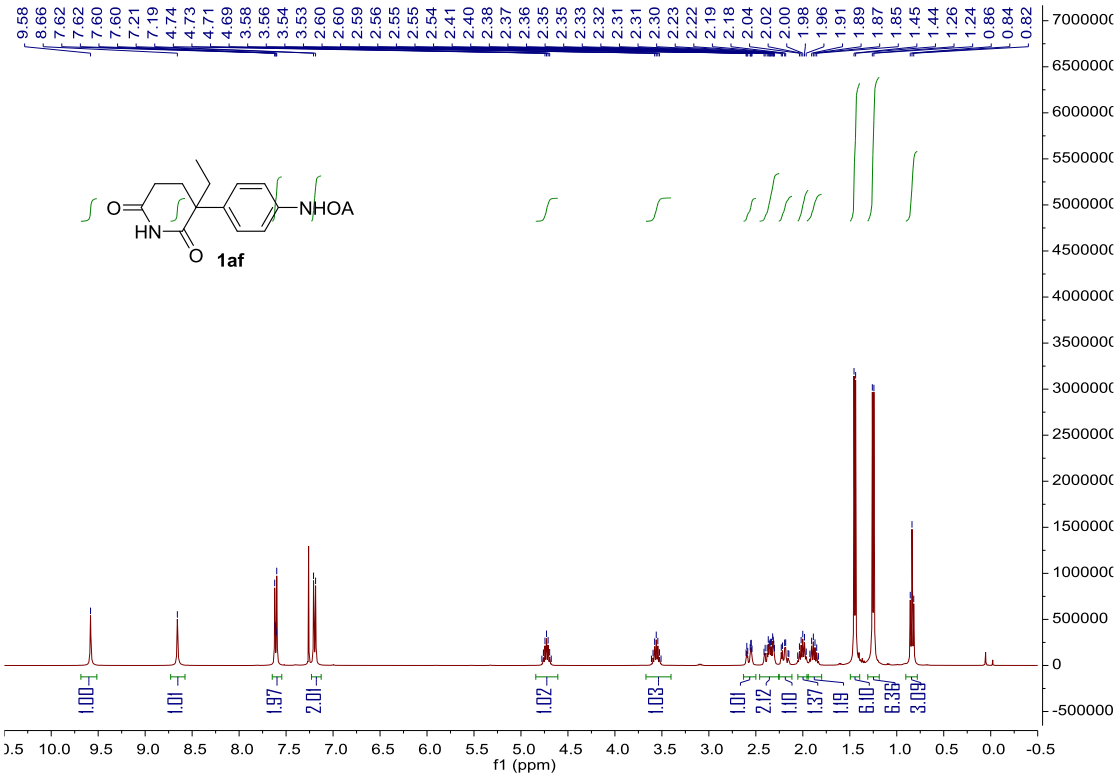
^{1}H NMR (400 MHz) of **1ae** in $CDCl_3$



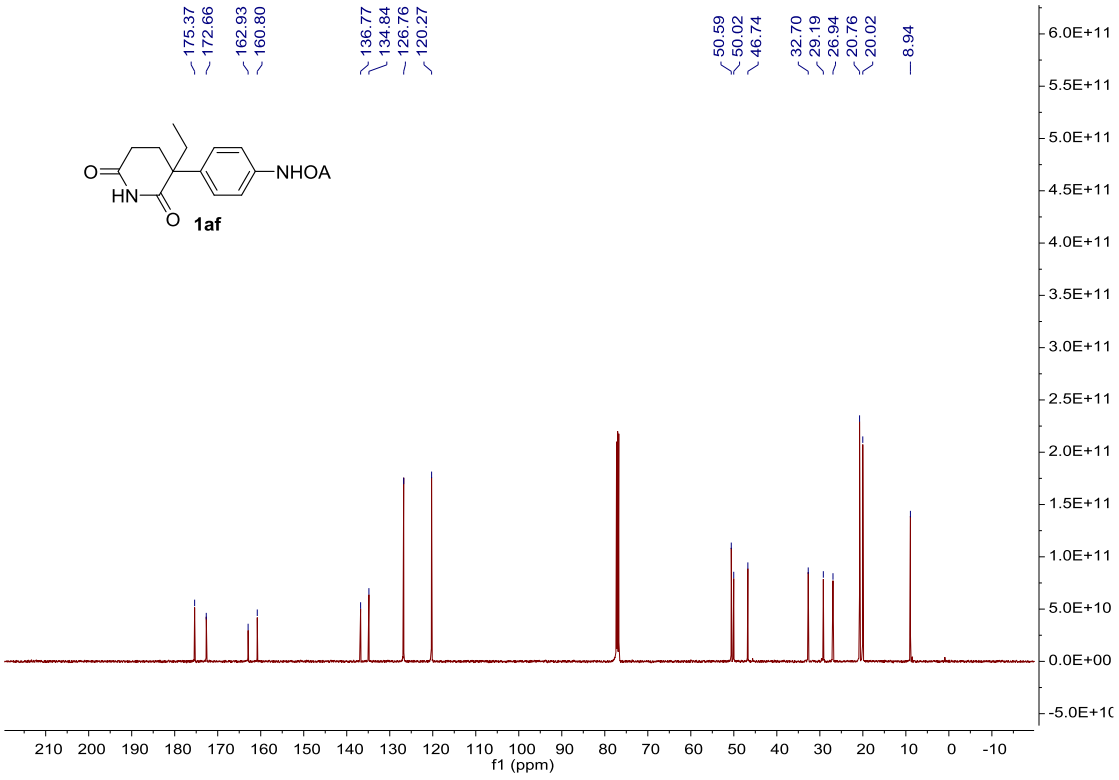
^{13}C NMR (125 MHz) of **1ae** in $CDCl_3$



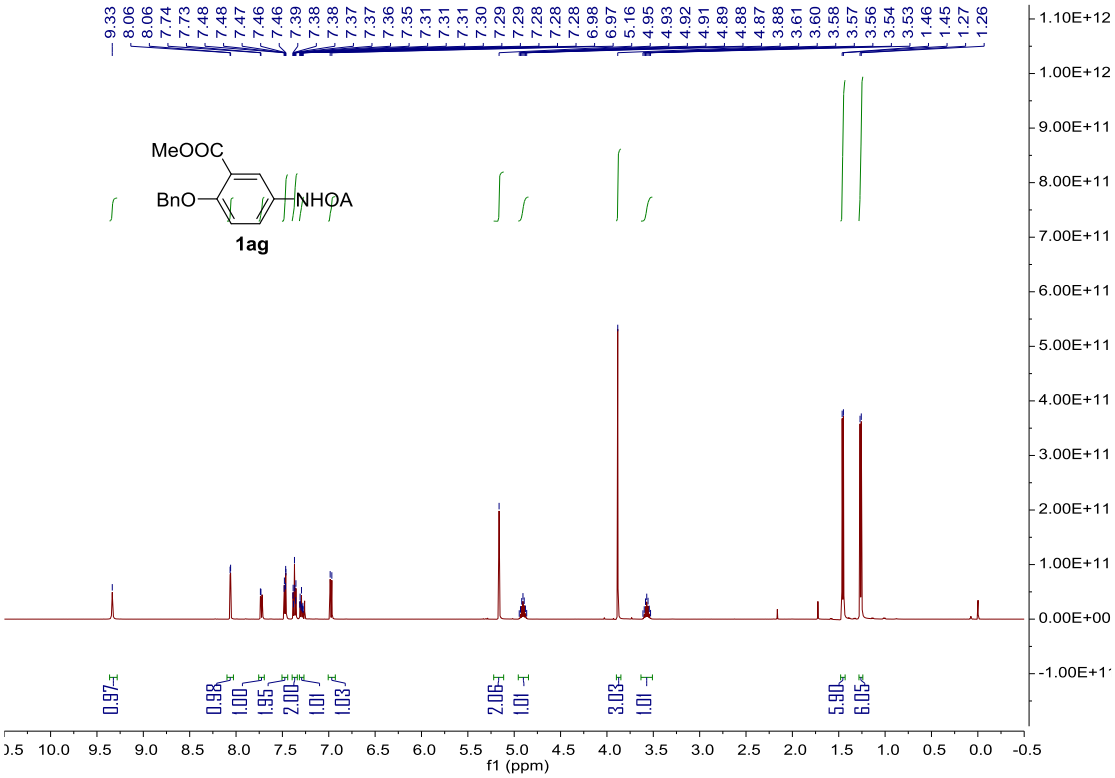
^{1}H NMR (400 MHz) of **1af** in $CDCl_3$



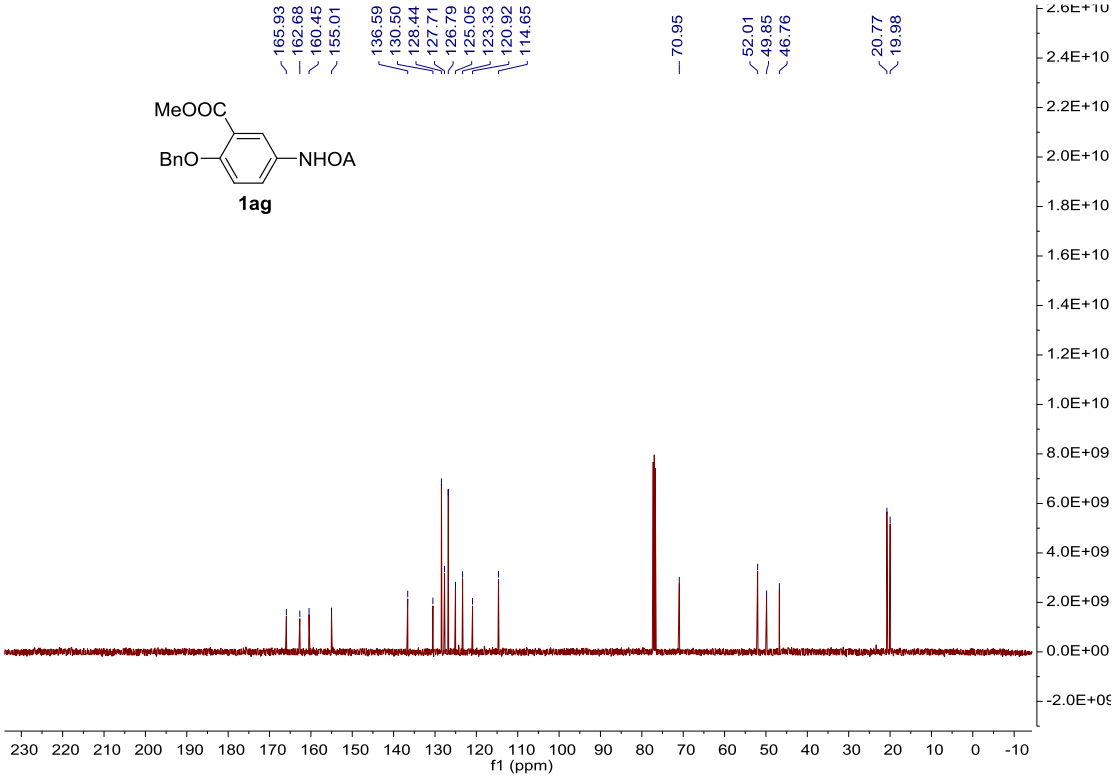
^{13}C NMR (125 MHz) of **1af** in $CDCl_3$



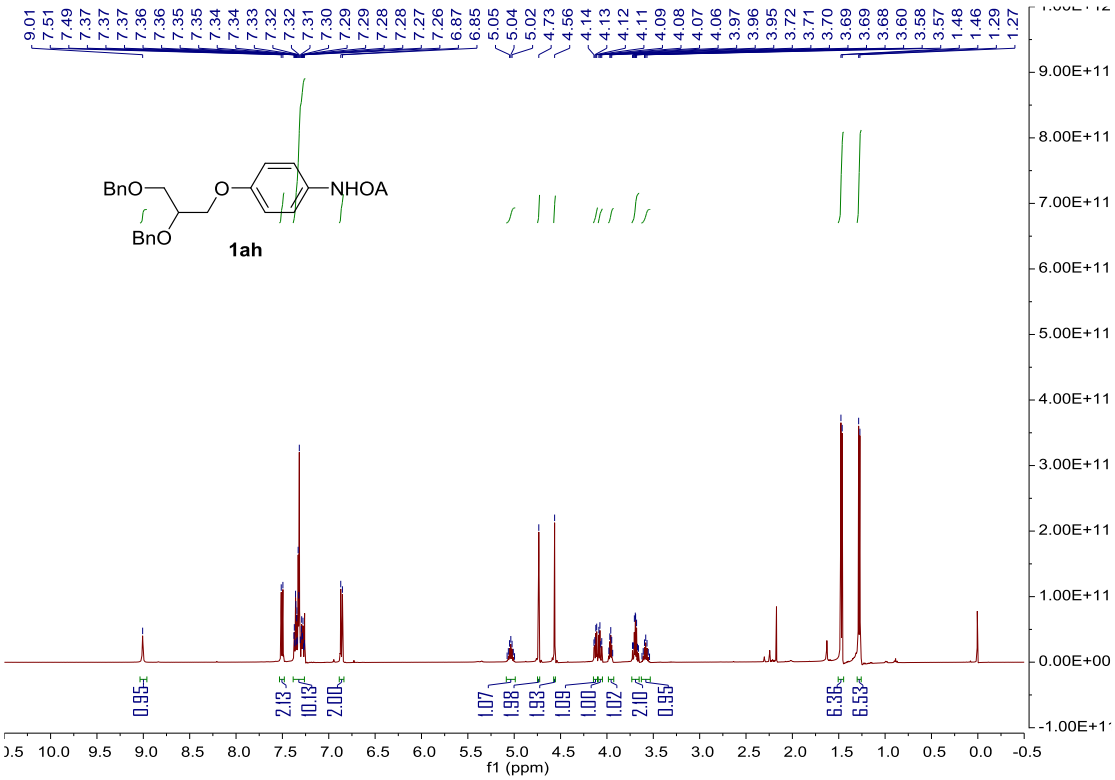
^{1}H NMR (400 MHz) of **1ag** in $CDCl_3$



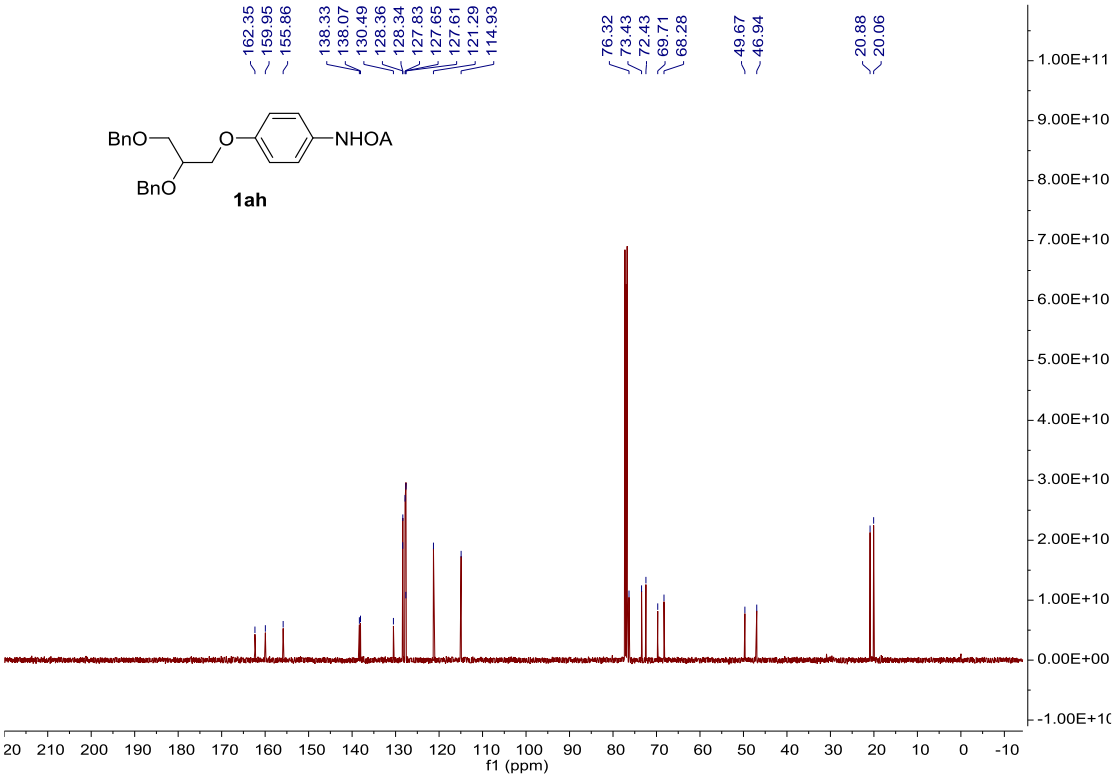
^{13}C NMR (125 MHz) of **1ag** in $CDCl_3$



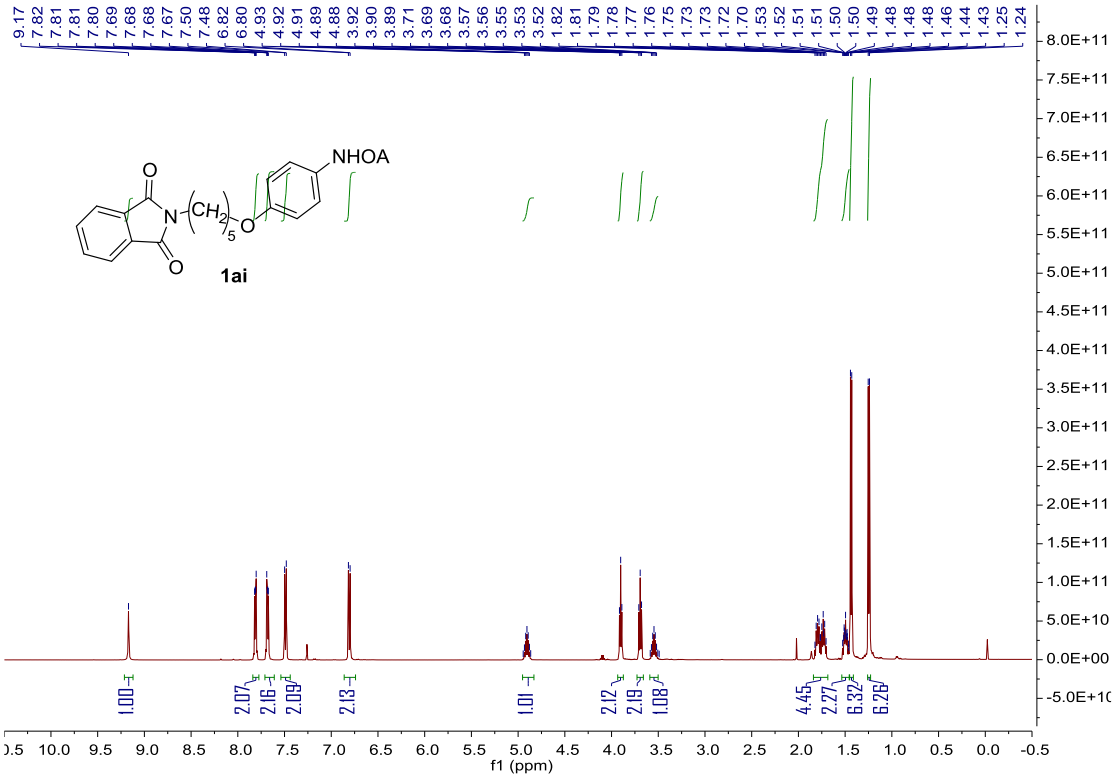
^{1}H NMR (500 MHz) of **1ah** in $CDCl_3$



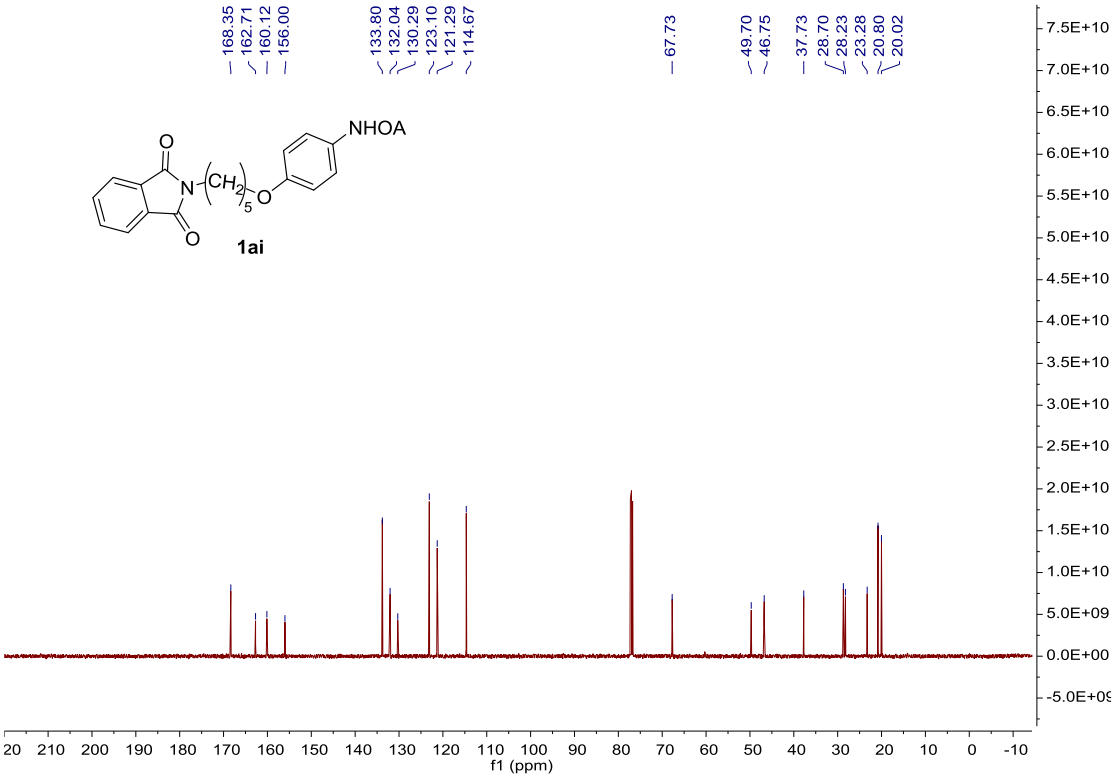
^{13}C NMR (125 MHz) of **1ah** in $CDCl_3$



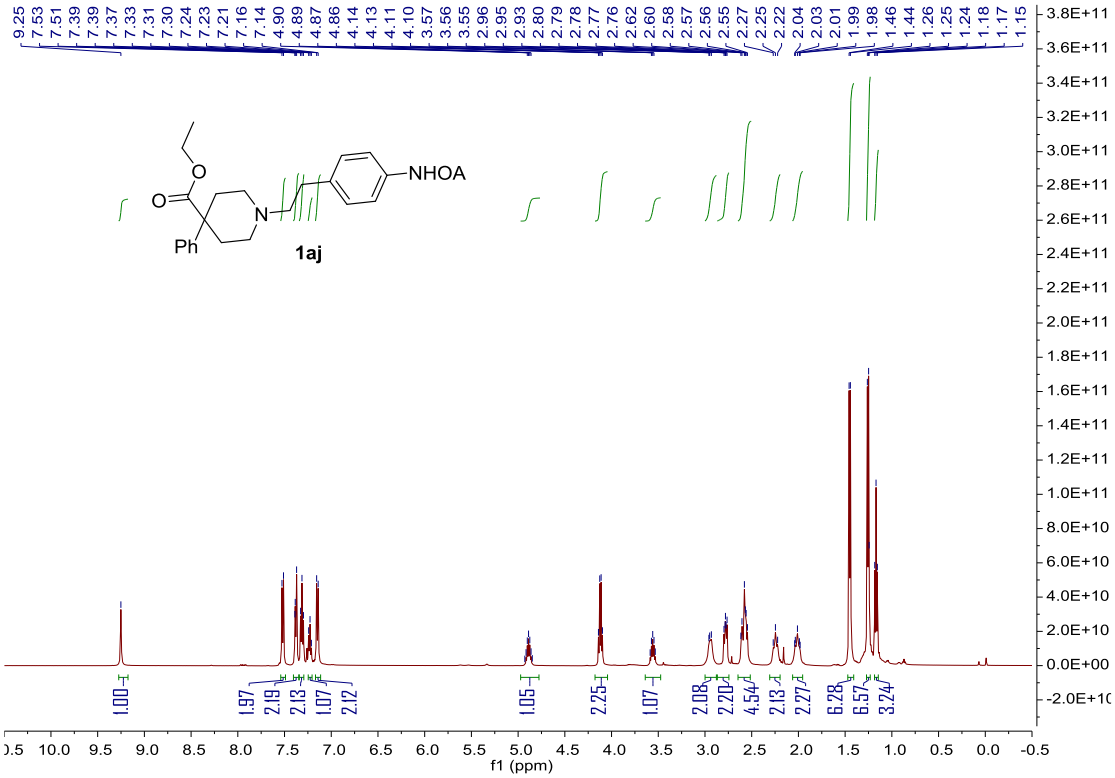
^{1}H NMR (500 MHz) of **1ai** in $CDCl_3$



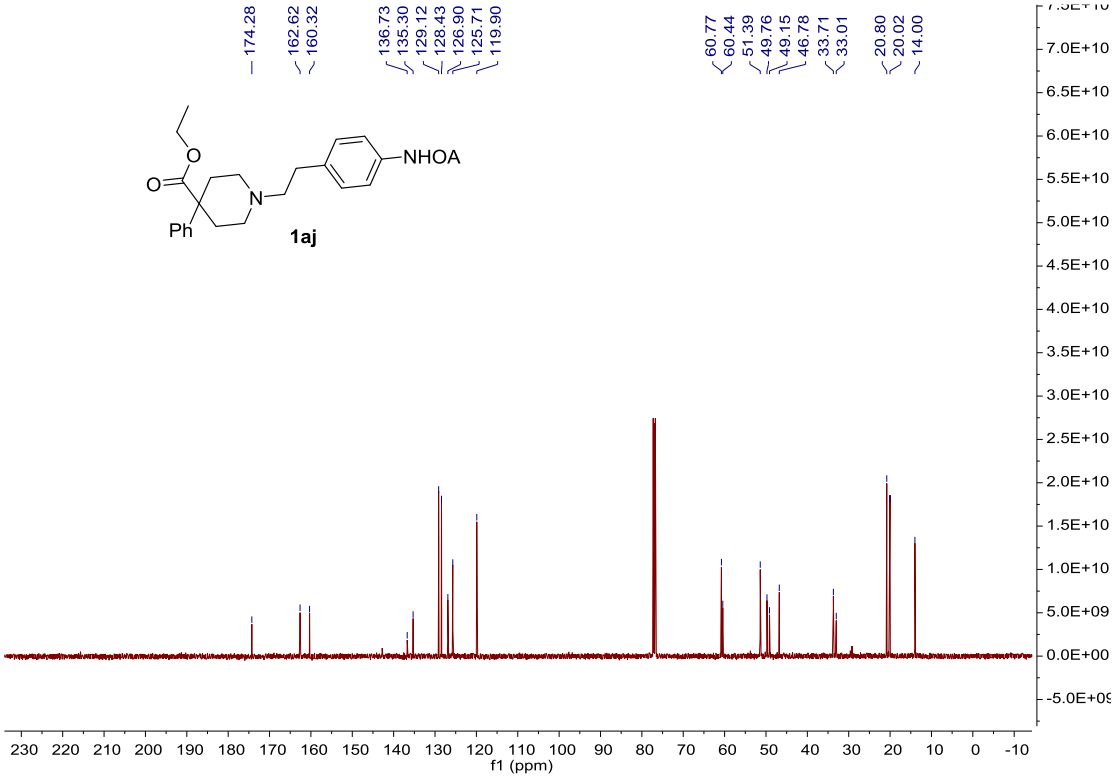
^{13}C NMR (125 MHz) of **1ai** in $CDCl_3$



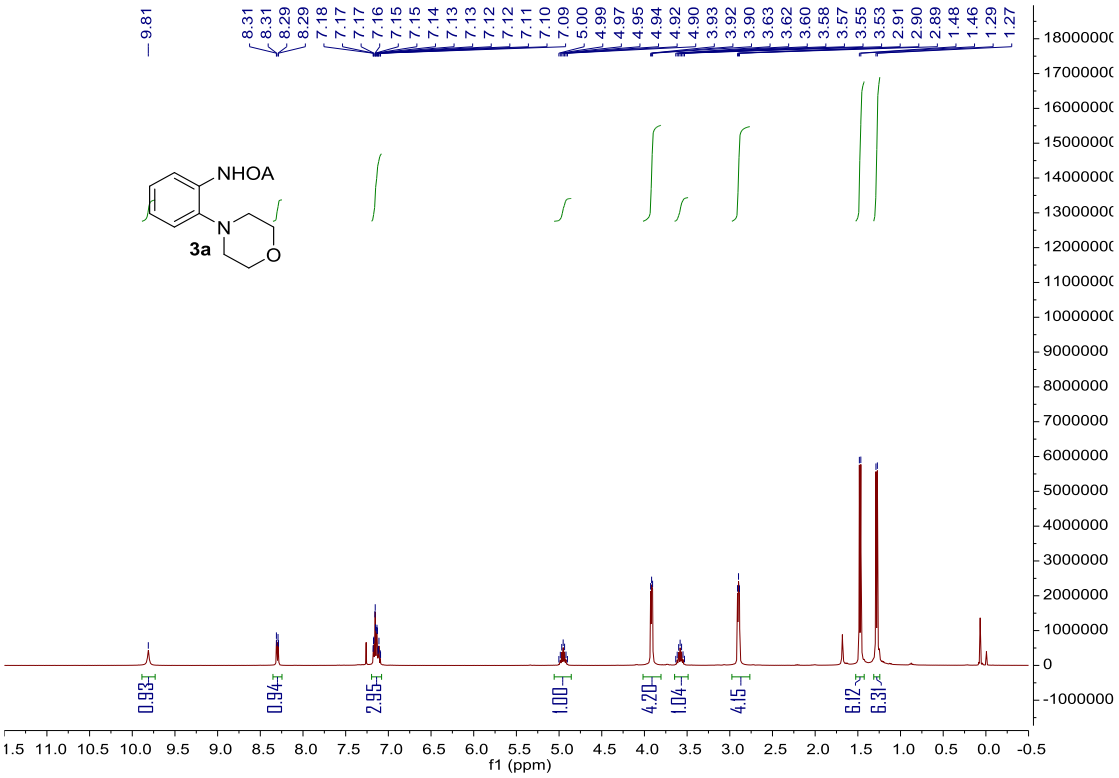
^{1}H NMR (500 MHz) of **1aj** in $CDCl_3$



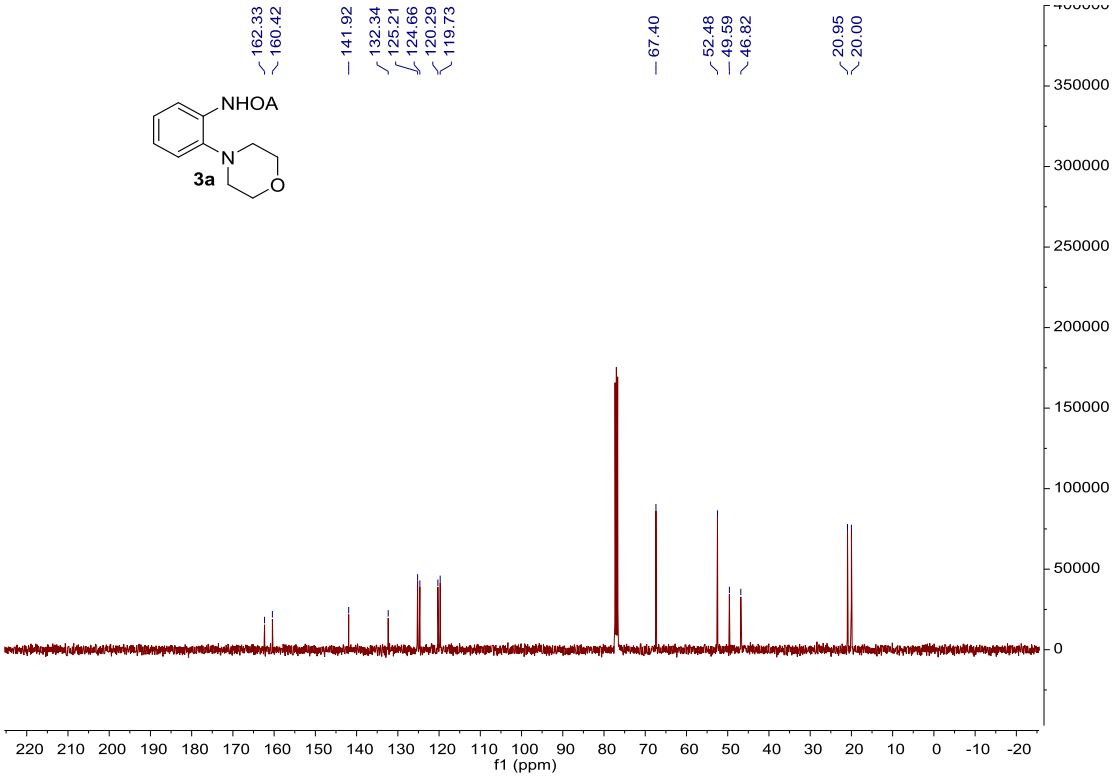
^{13}C NMR (125 MHz) of **1aj** in $CDCl_3$



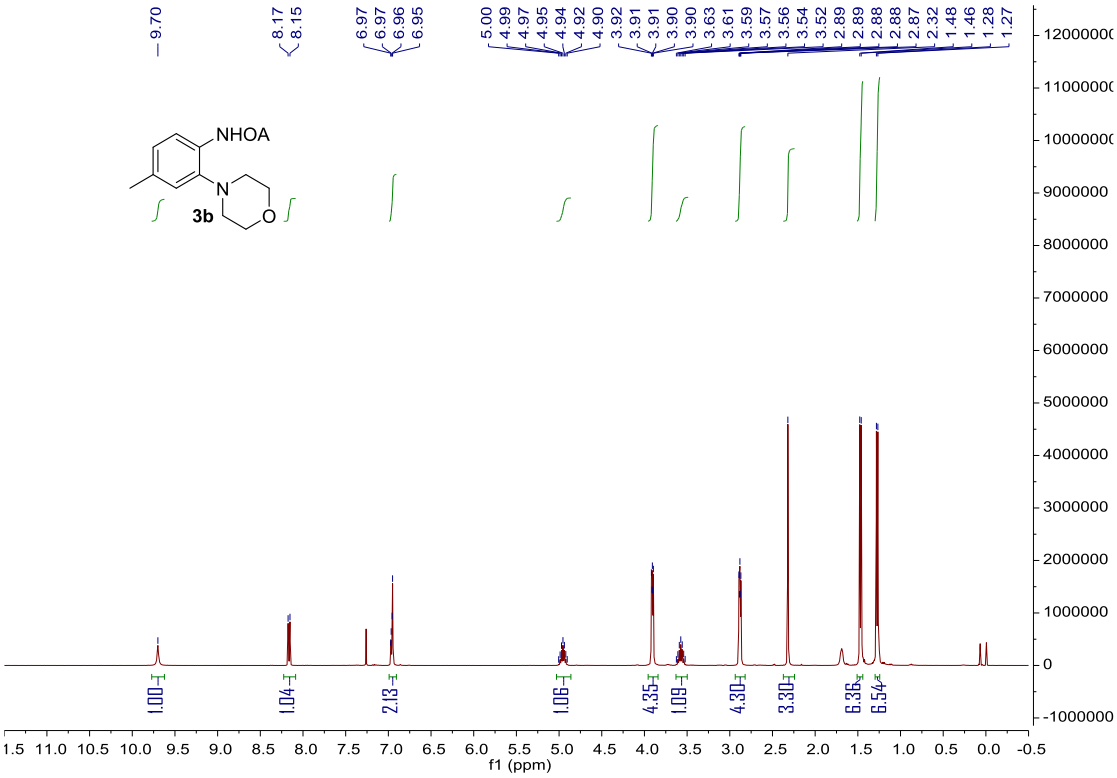
^{1}H NMR (400 MHz) of **3a** in $CDCl_3$



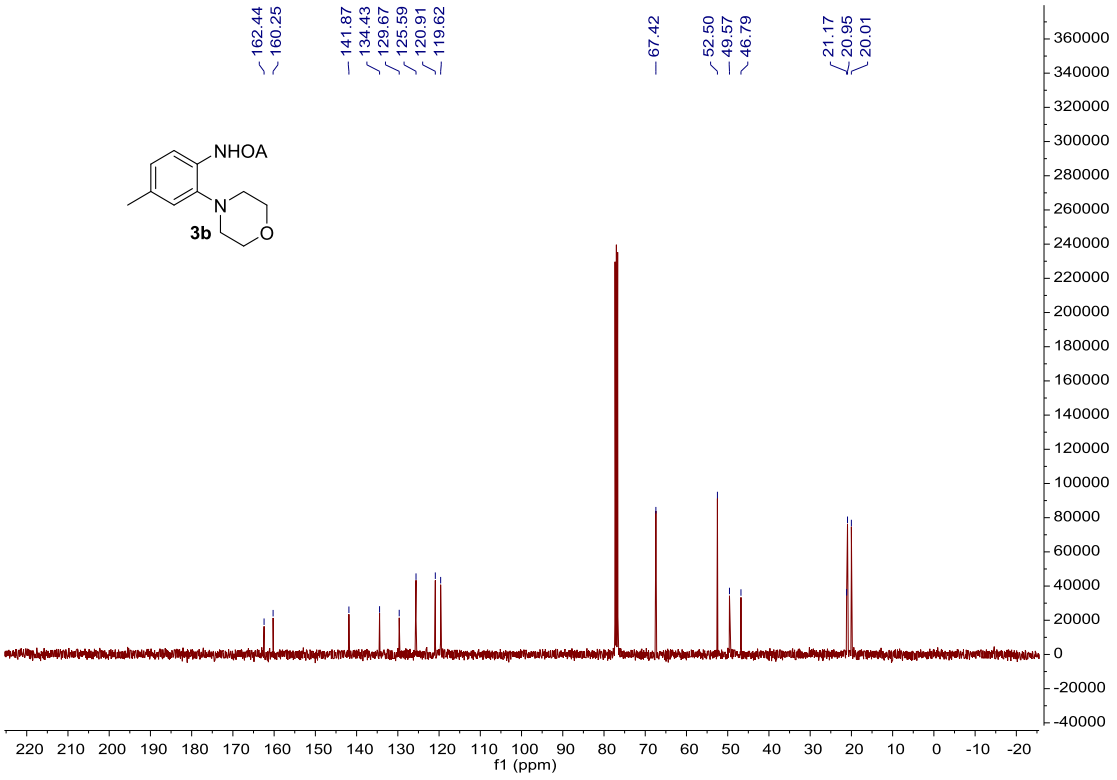
^{13}C NMR (125 MHz) of **3a** in $CDCl_3$



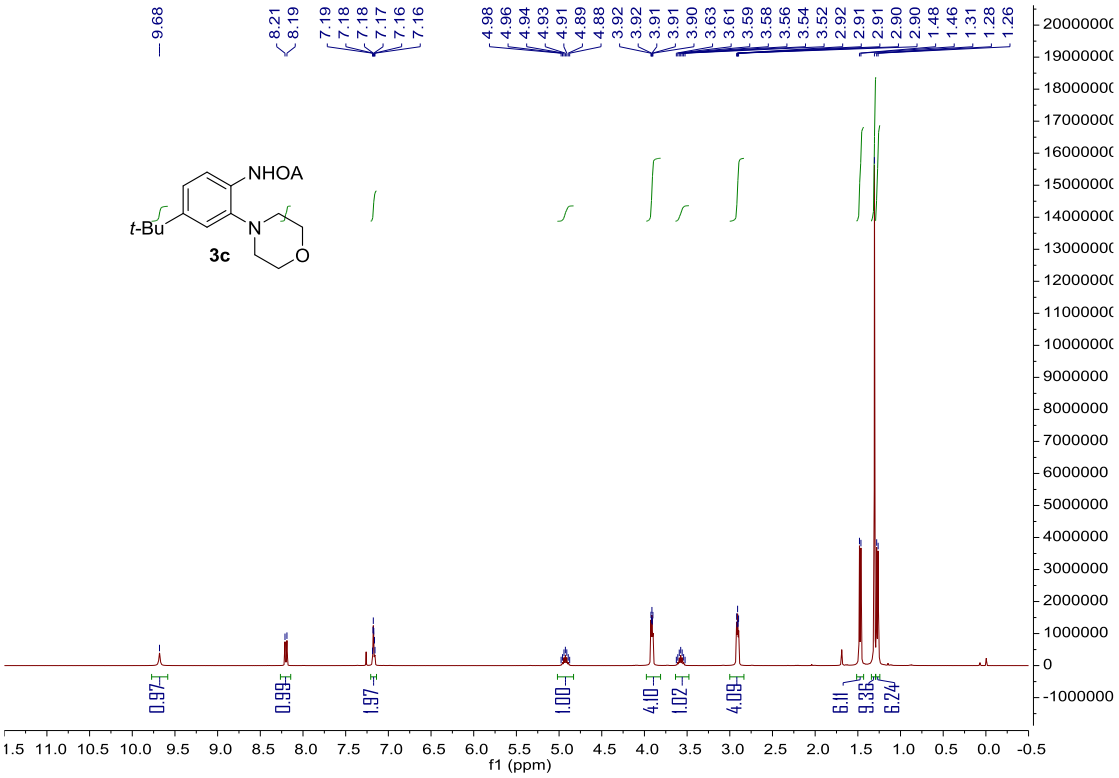
^{1}H NMR (400 MHz) of **3b** in $CDCl_3$



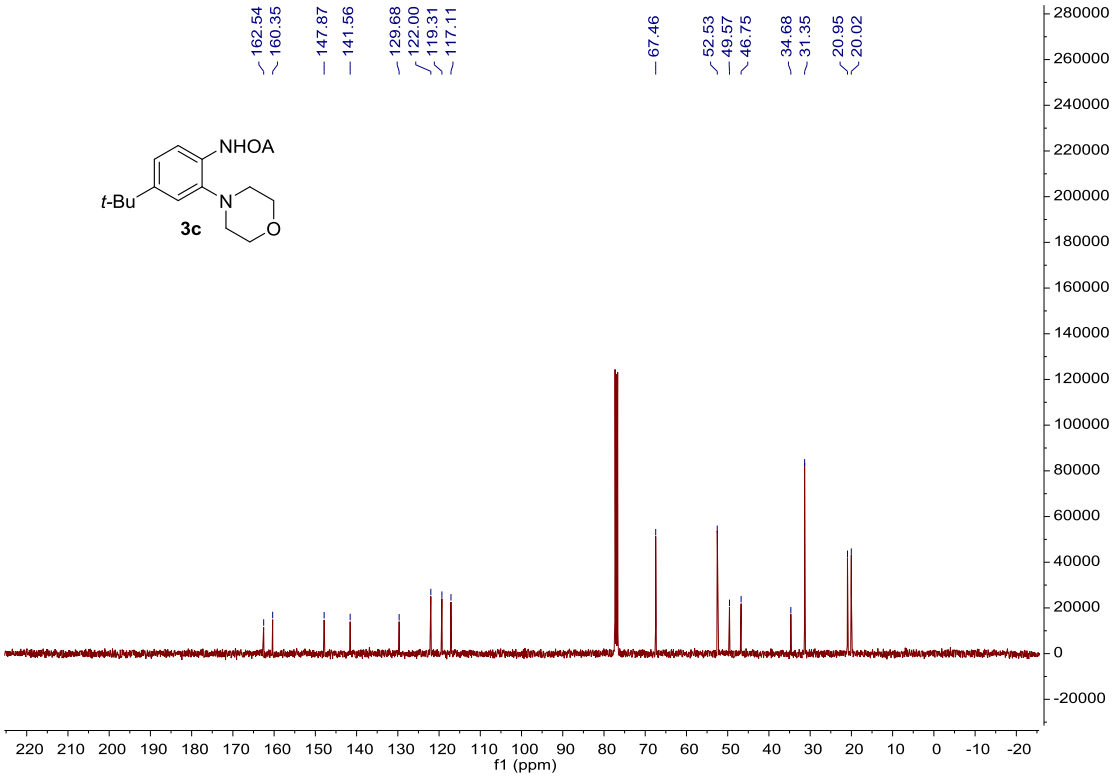
^{13}C NMR (100 MHz) of **3b** in $CDCl_3$



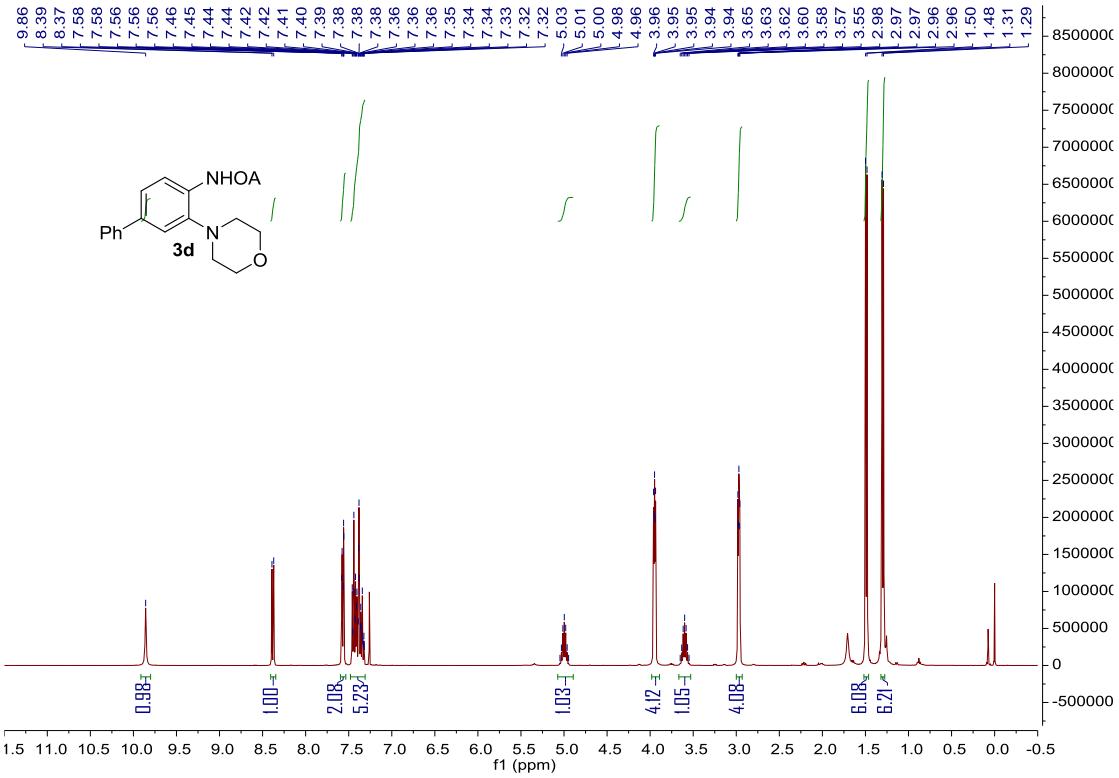
^{1}H NMR (400 MHz) of **3c** in $CDCl_3$



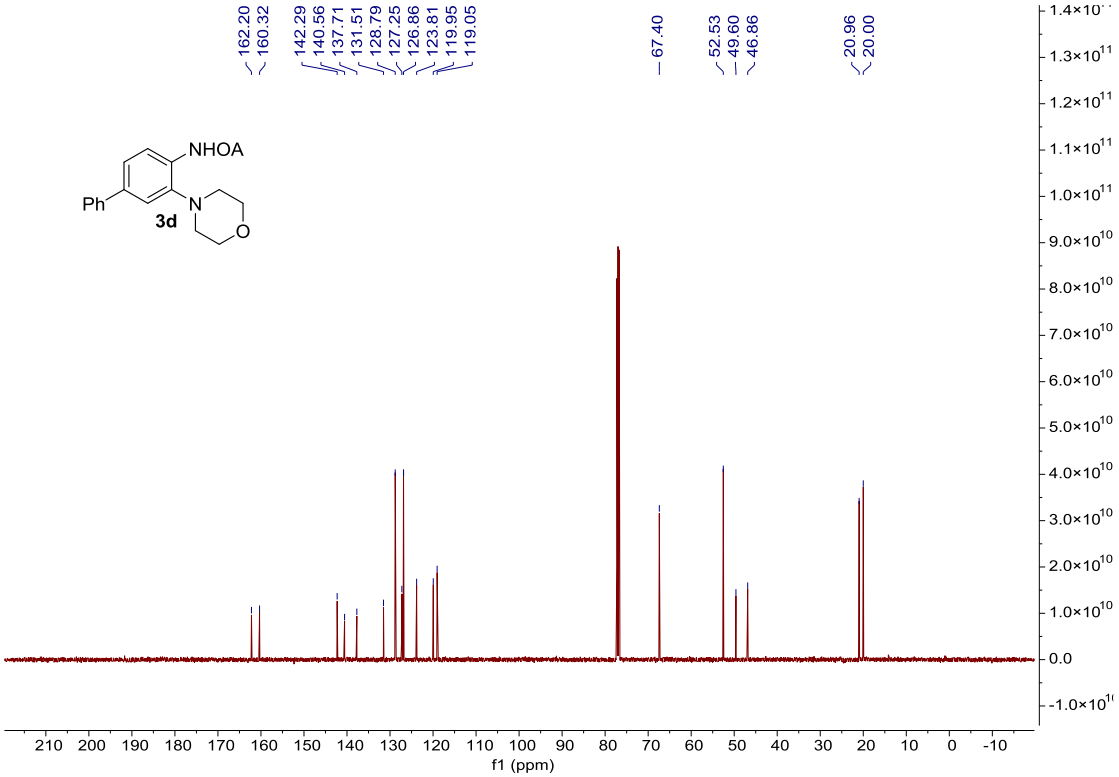
^{13}C NMR (100 MHz) of **3c** in $CDCl_3$



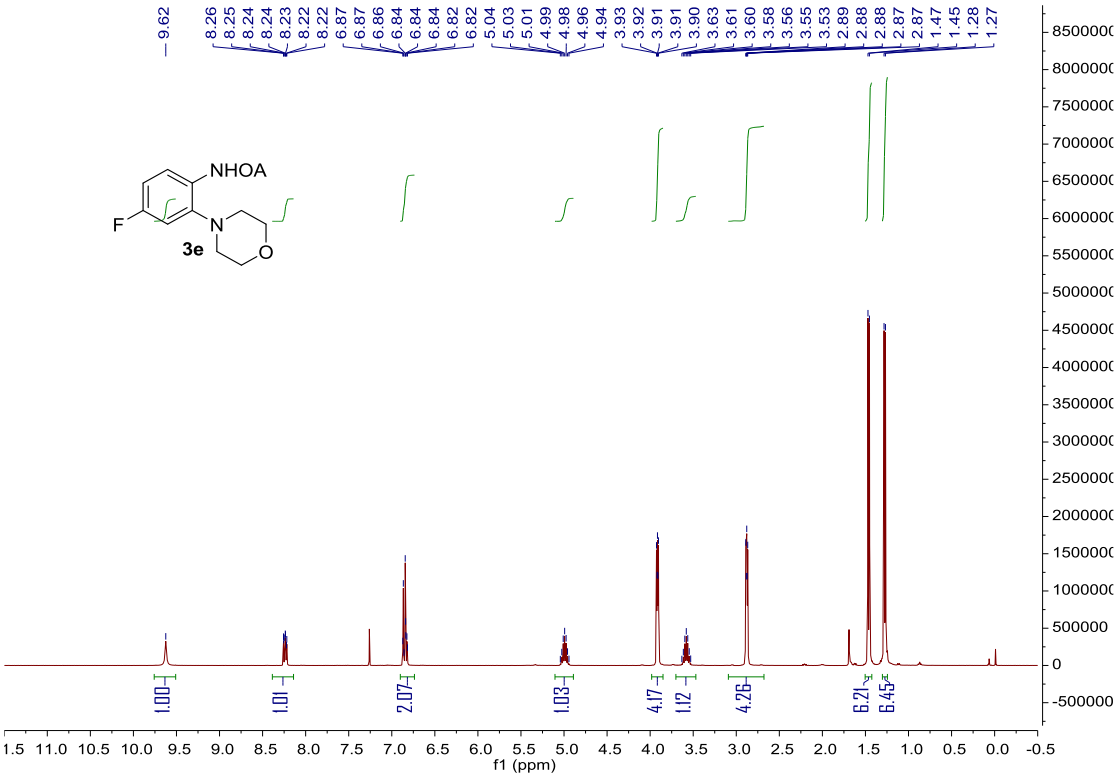
^{1}H NMR (400 MHz) of **3d** in $CDCl_3$



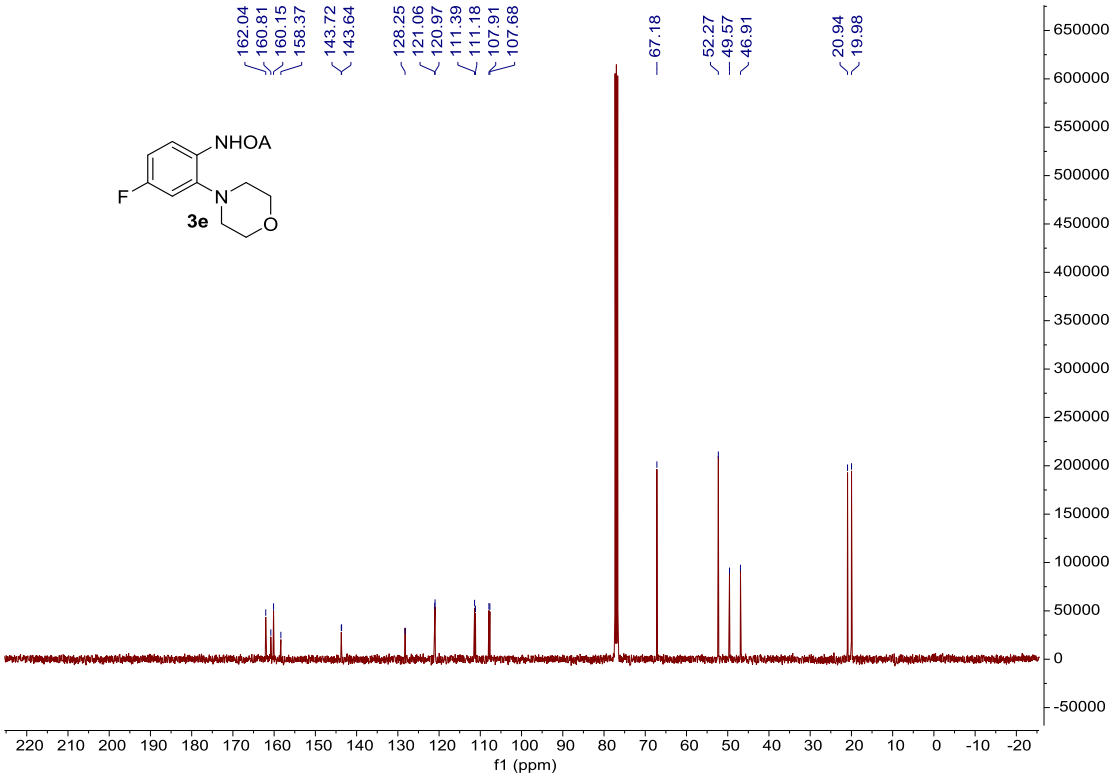
^{13}C NMR (125 MHz) of **3d** in $CDCl_3$



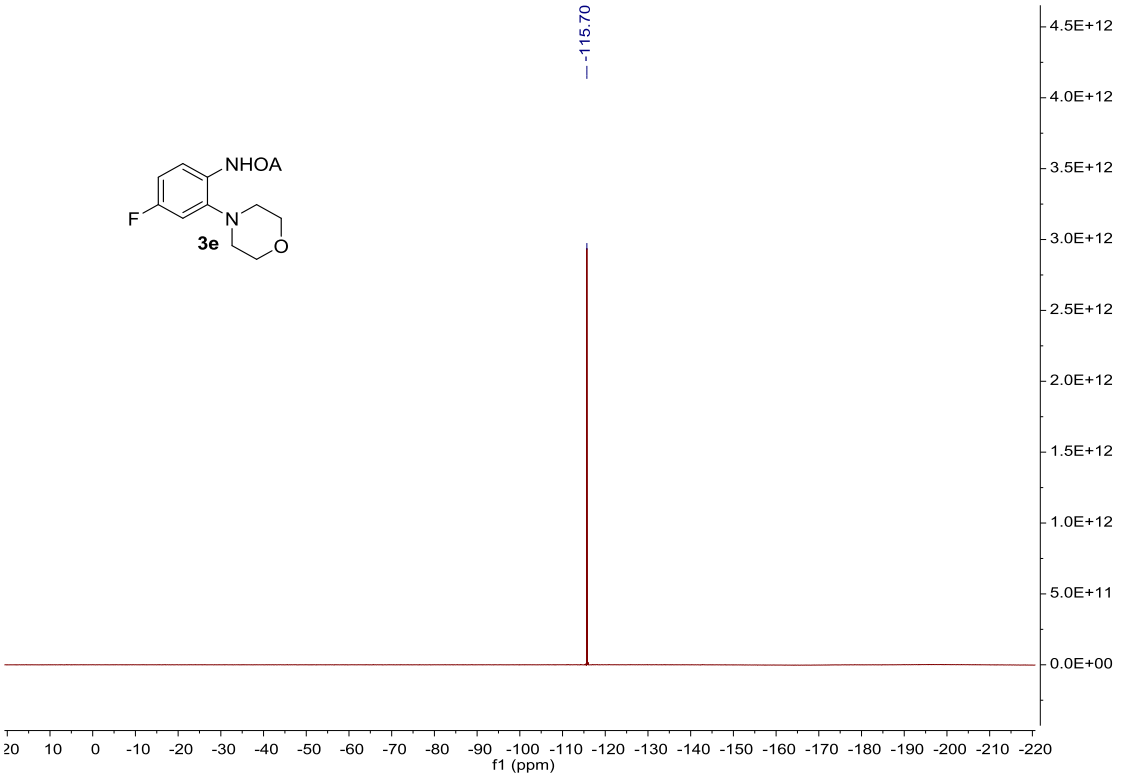
^{1}H NMR (400 MHz) of **3e** in $CDCl_3$



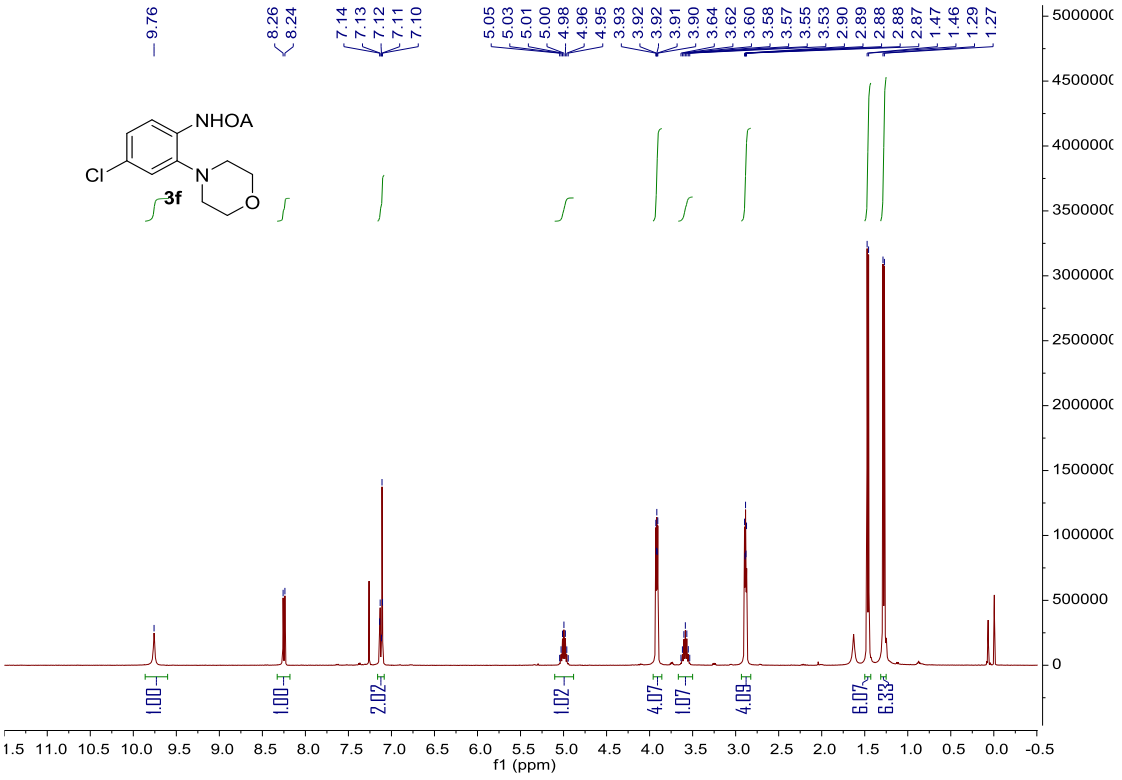
^{13}C NMR (100 MHz) of **3e** in $CDCl_3$



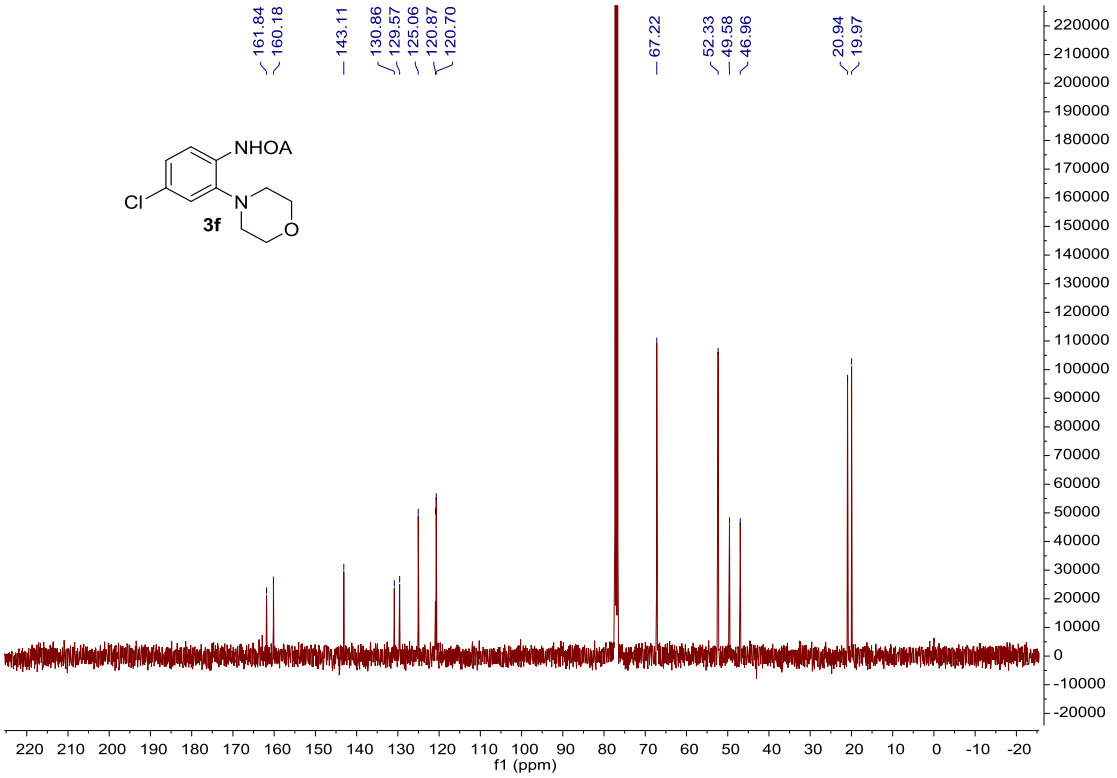
^{19}F NMR (471 MHz) of **3e** in $CDCl_3$



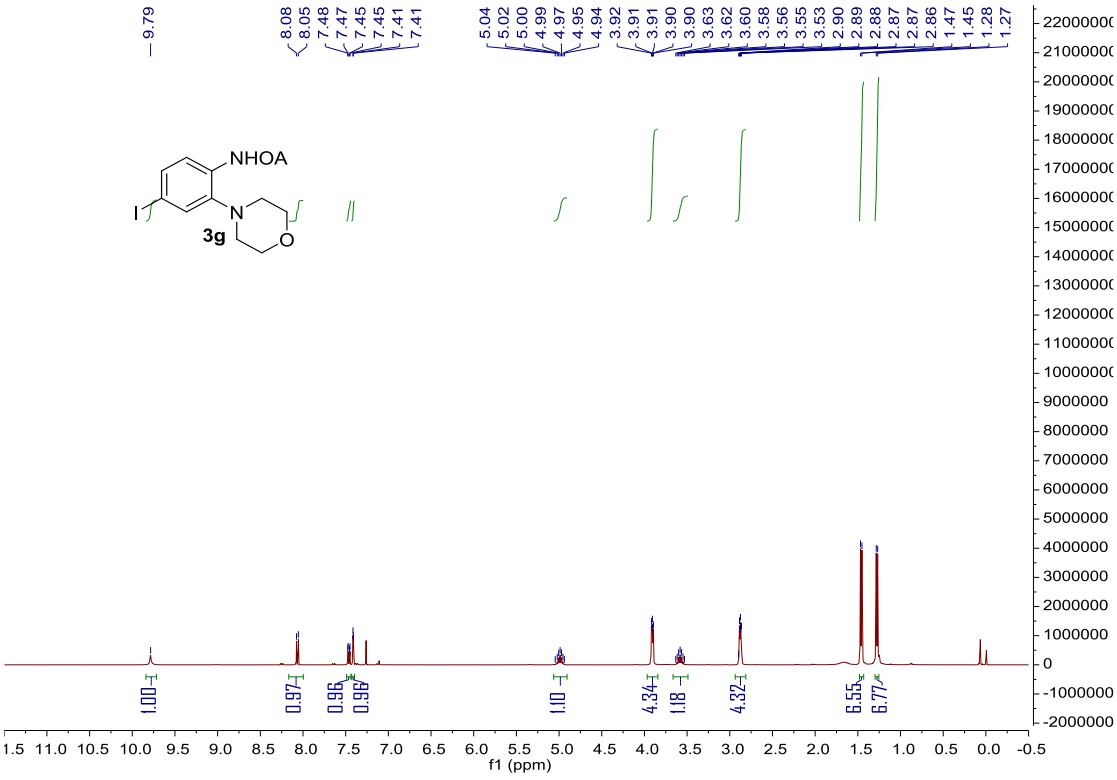
^{1}H NMR (400 MHz) of **3f** in $CDCl_3$



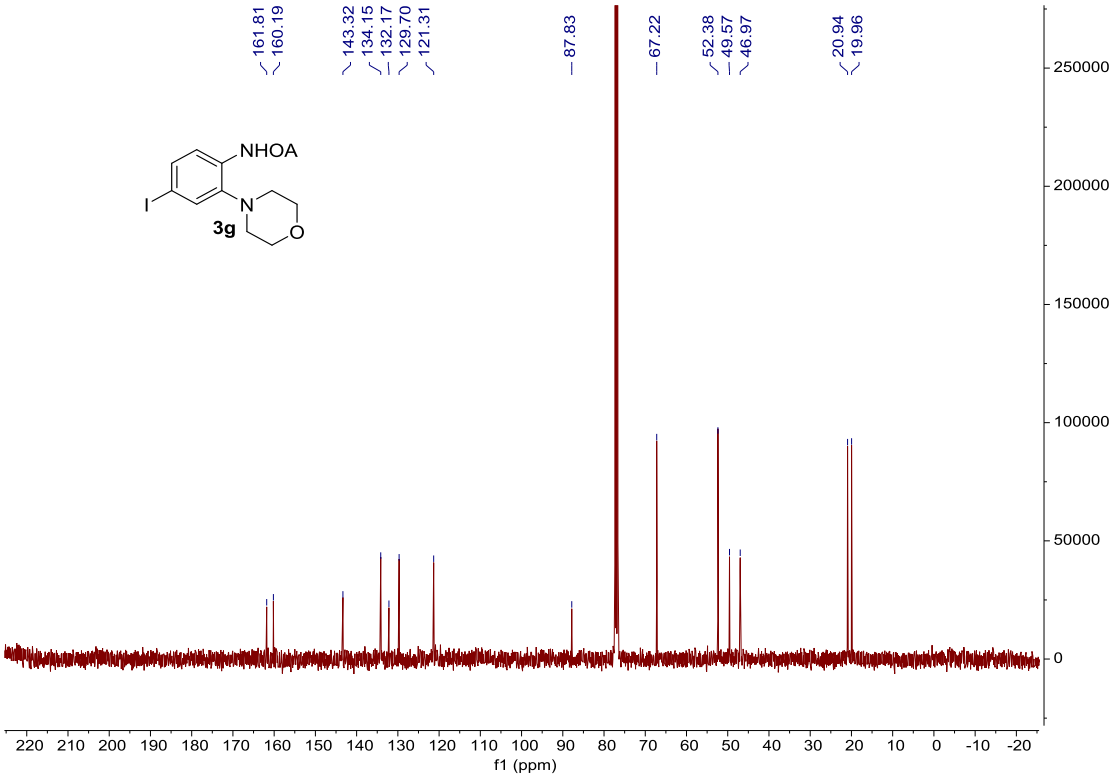
^{13}C NMR (100 MHz) of **3f** in $CDCl_3$



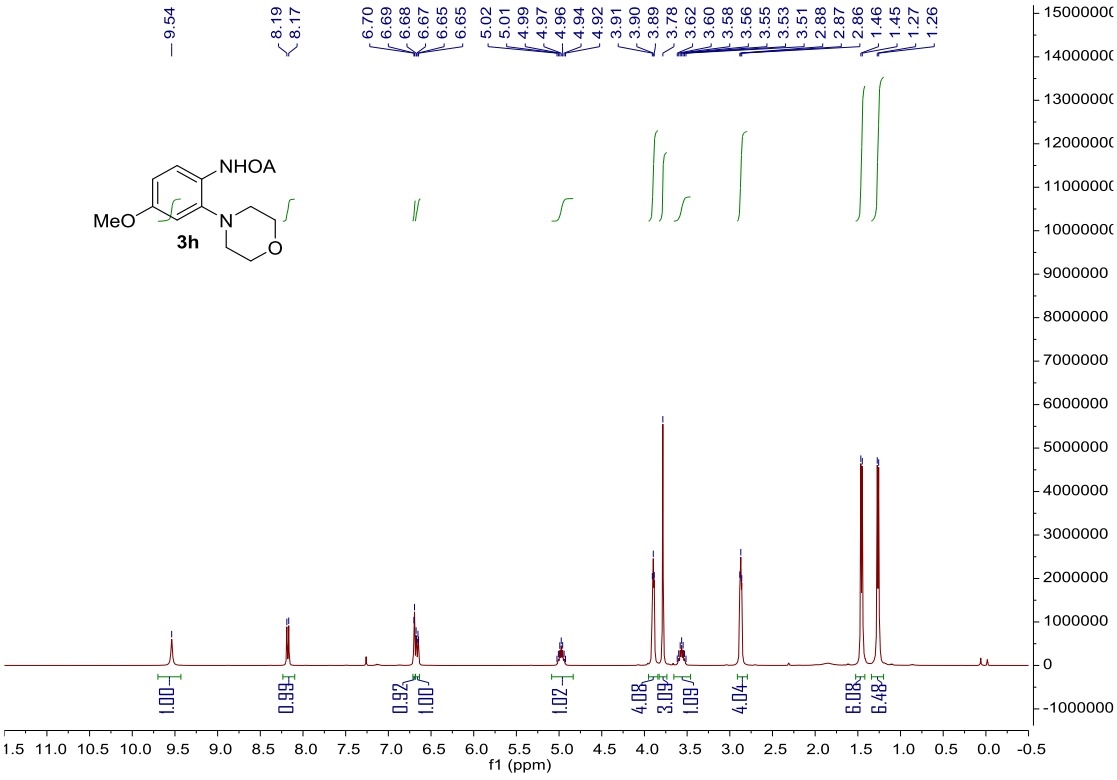
^{1}H NMR (400 MHz) of **3g** in $CDCl_3$



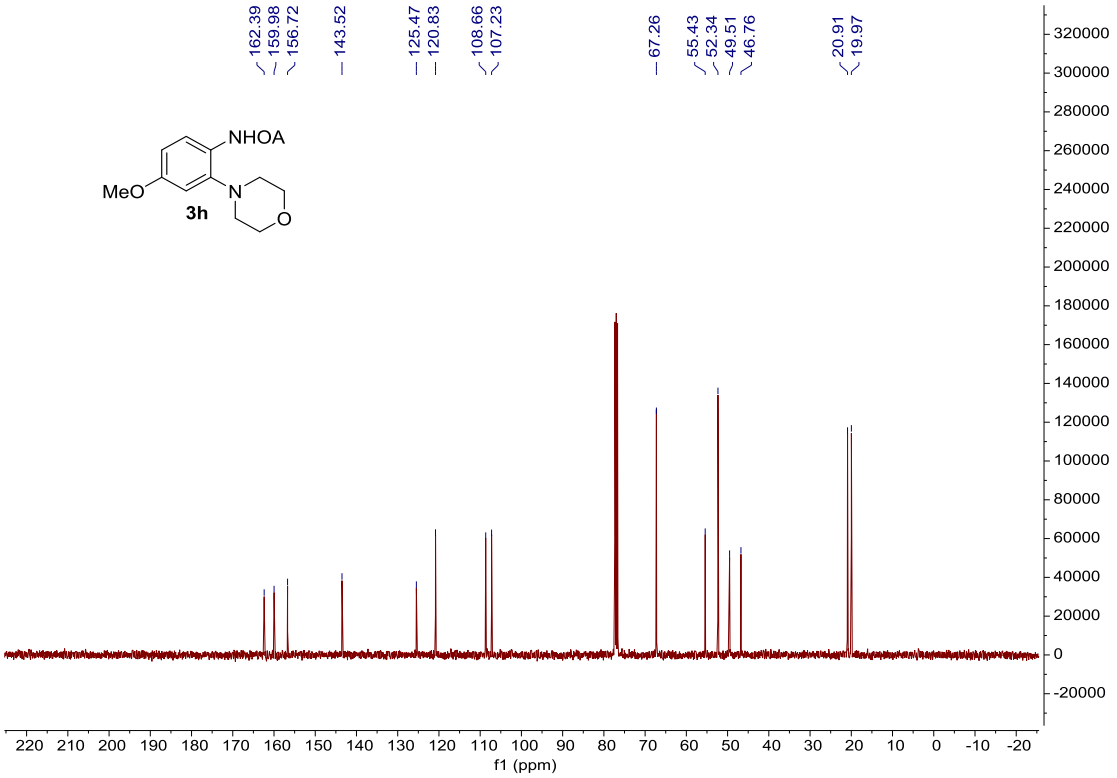
^{13}C NMR (100 MHz) of **3g** in $CDCl_3$



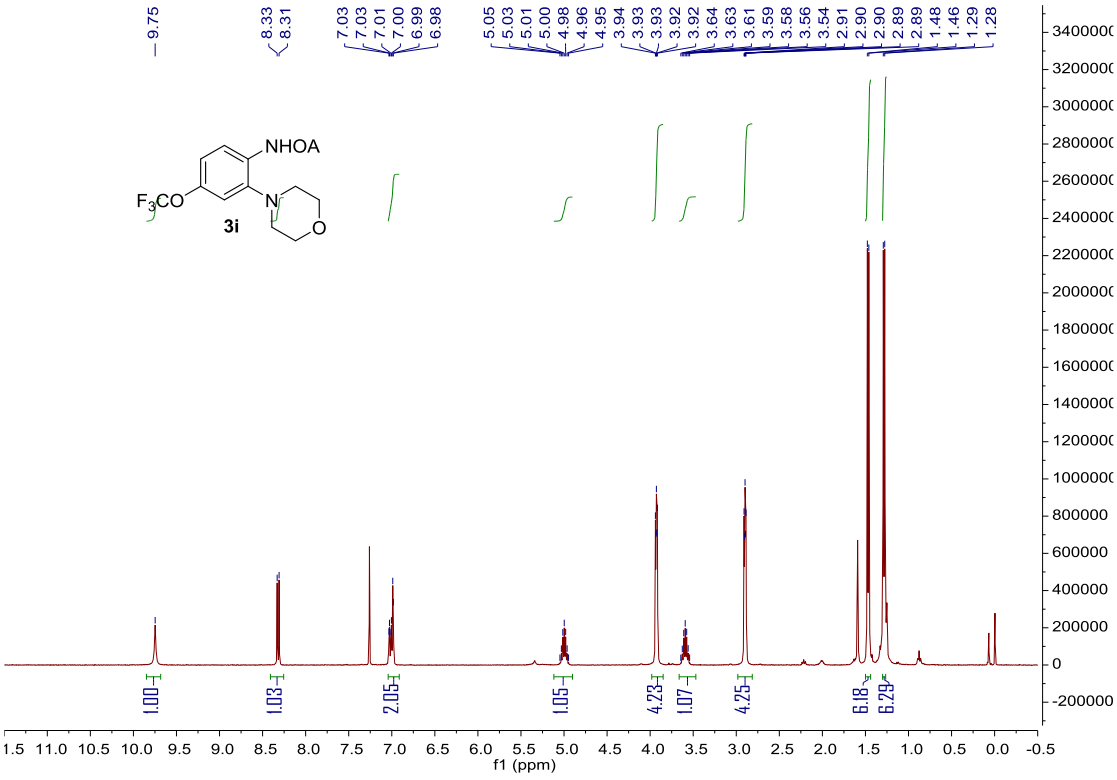
^{1}H NMR (400 MHz) of **3h** in $CDCl_3$



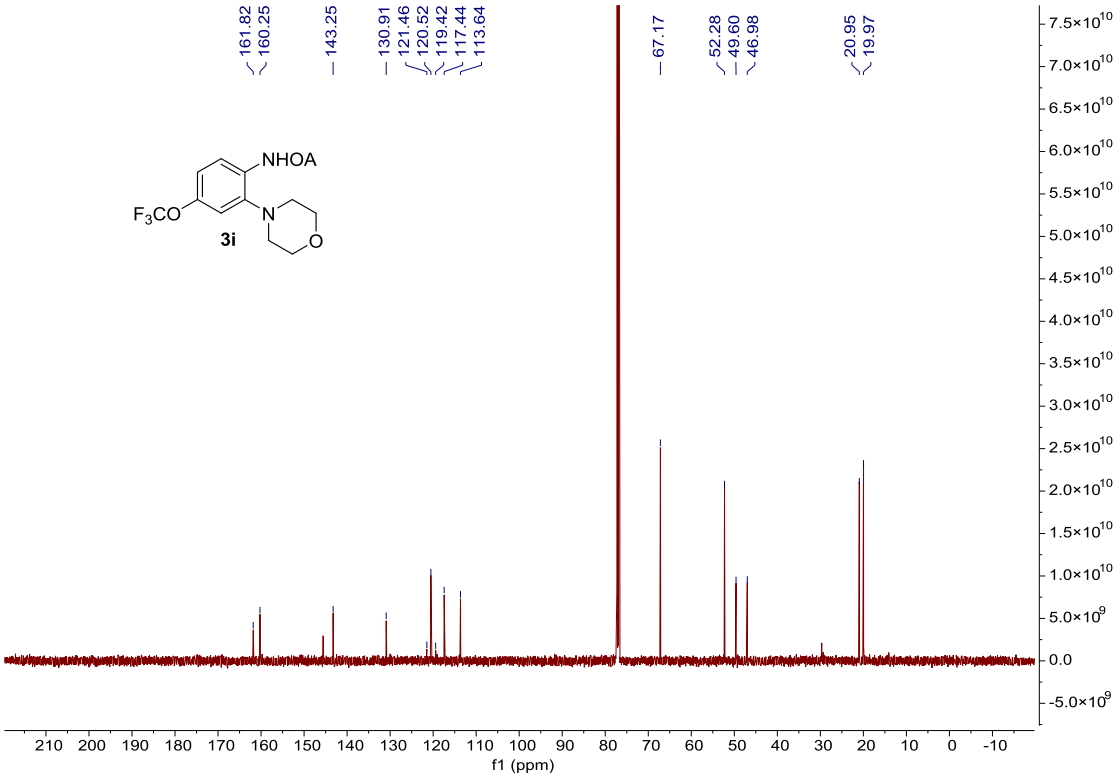
^{13}C NMR (100 MHz) of **3h** in $CDCl_3$



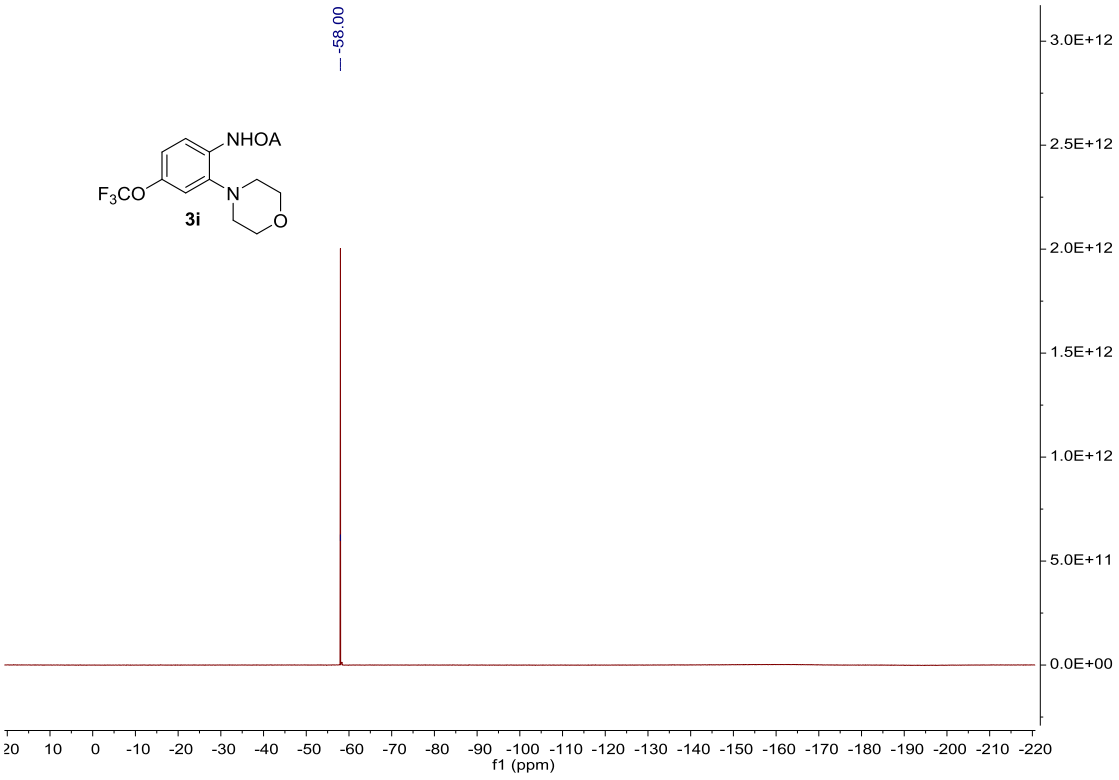
^{1}H NMR (400 MHz) of **3i** in $CDCl_3$



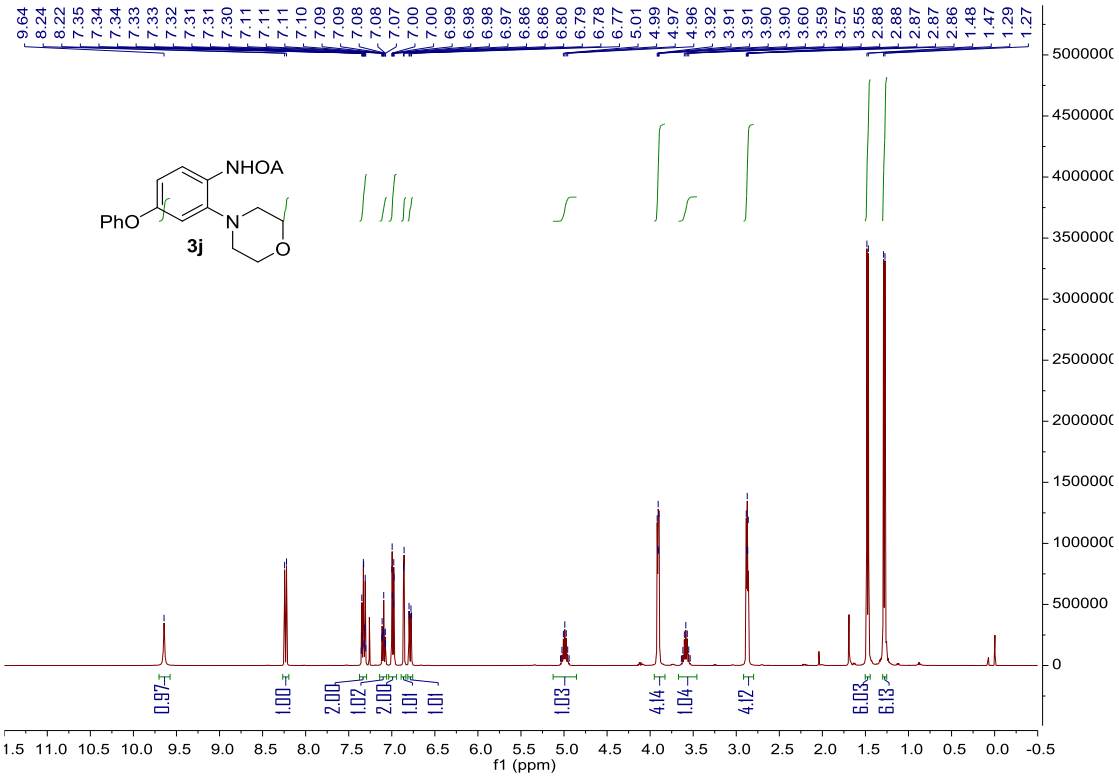
^{13}C NMR (125 MHz) of **3i** in $CDCl_3$



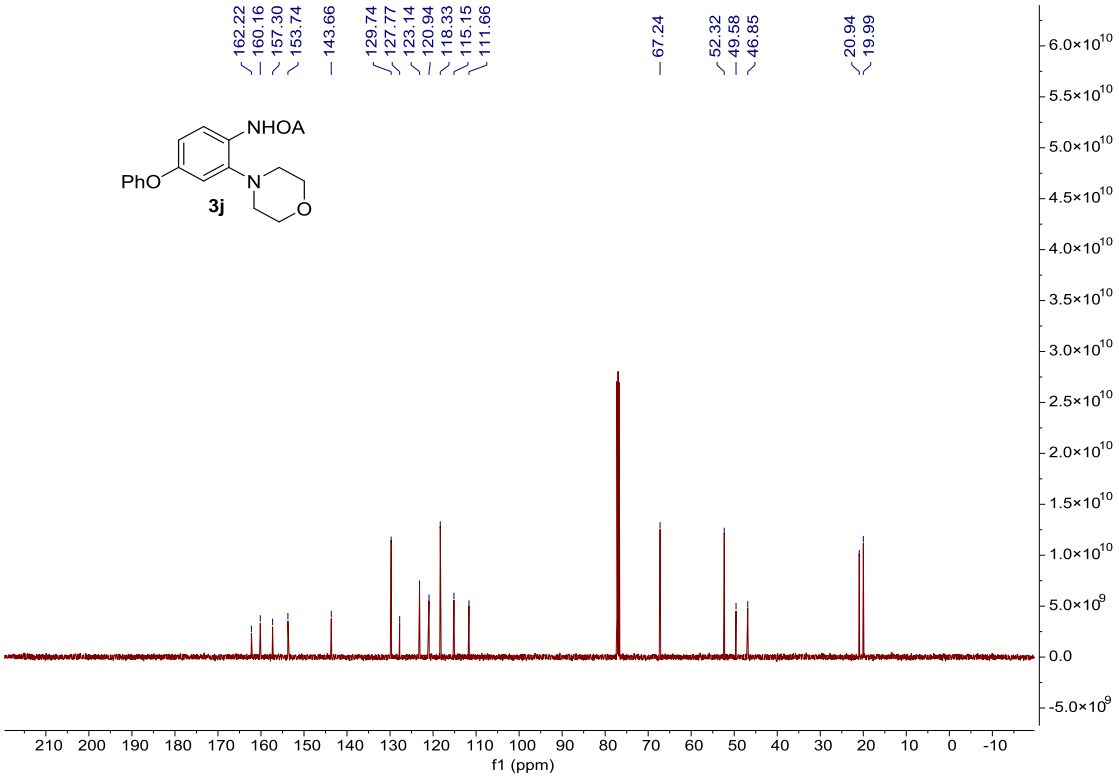
^{19}F NMR (471 MHz) of **3i** in $CDCl_3$



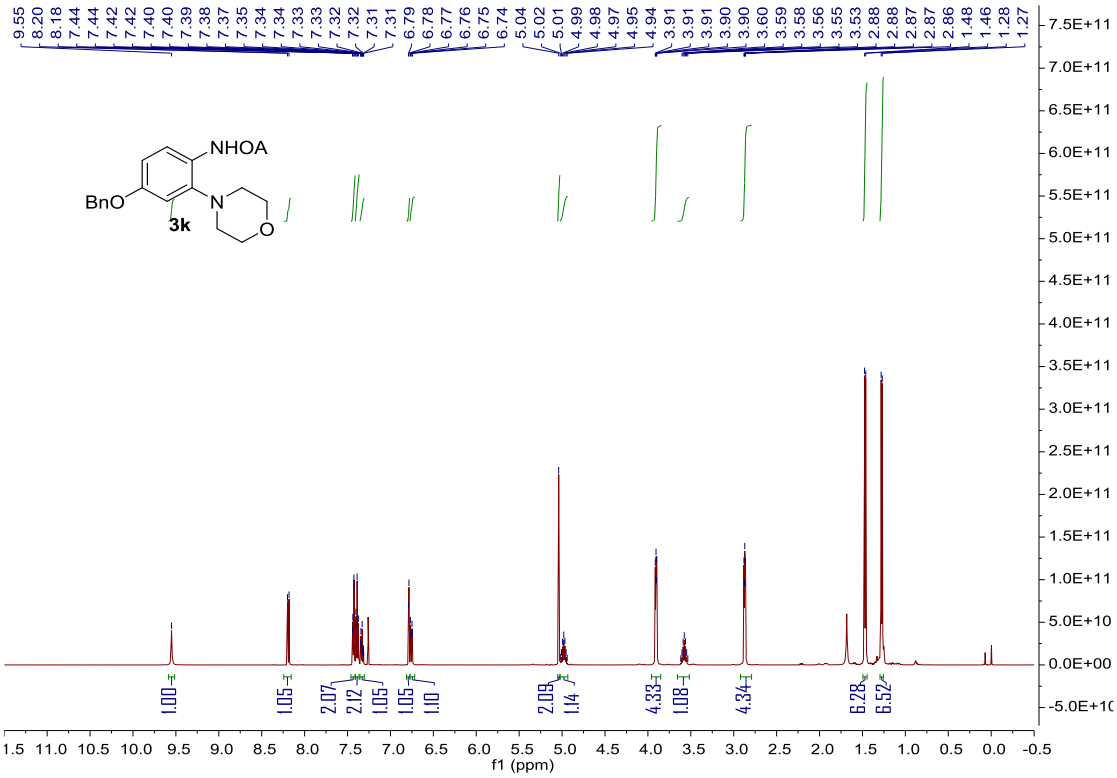
^{1}H NMR (400 MHz) of **3j** in $CDCl_3$



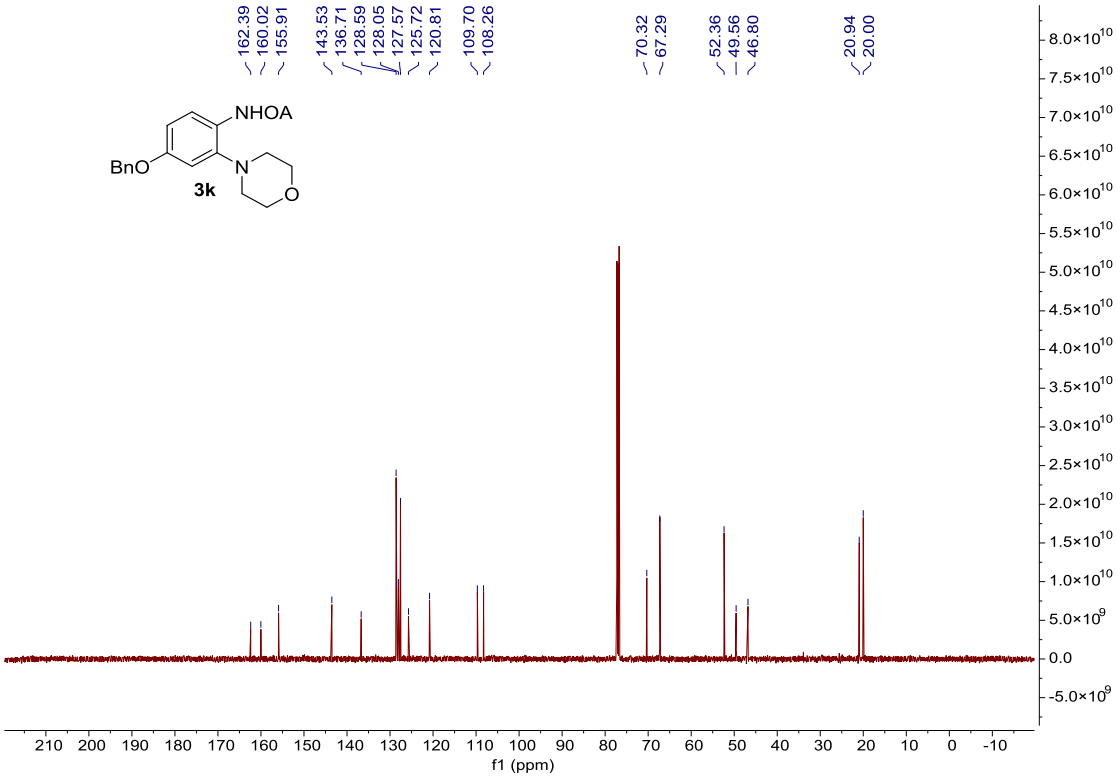
^{13}C NMR (125 MHz) of **3j** in $CDCl_3$



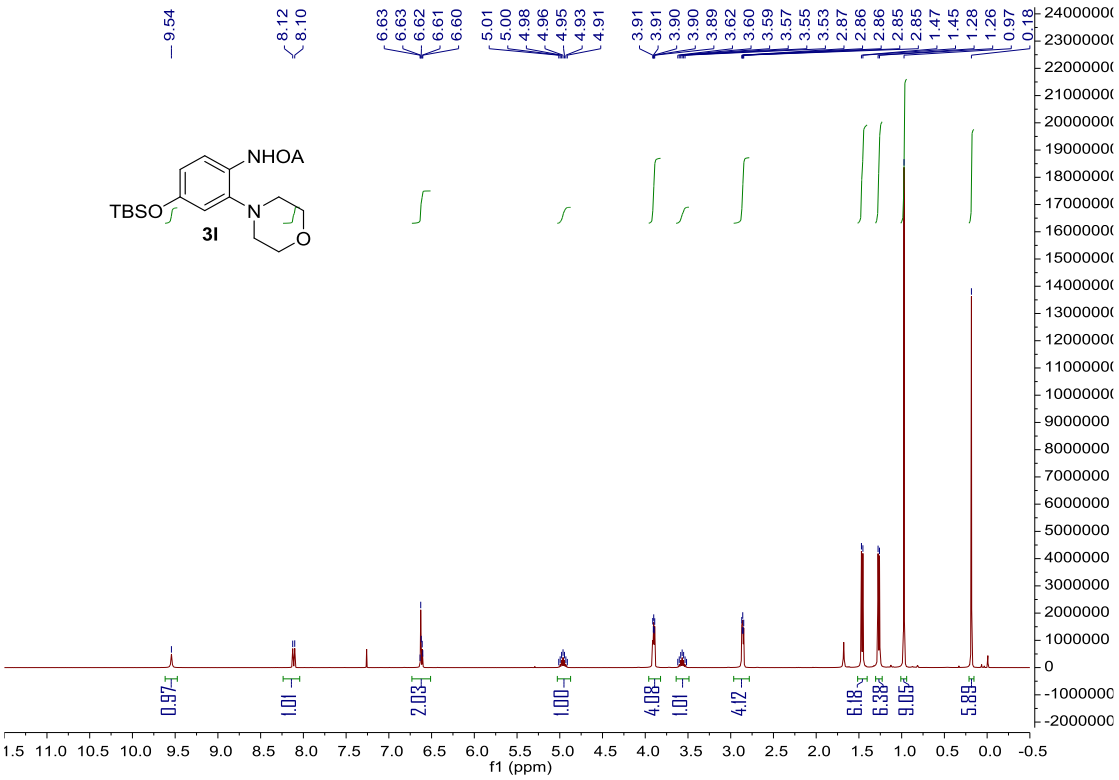
^{1}H NMR (500 MHz) of **3k** in $CDCl_3$



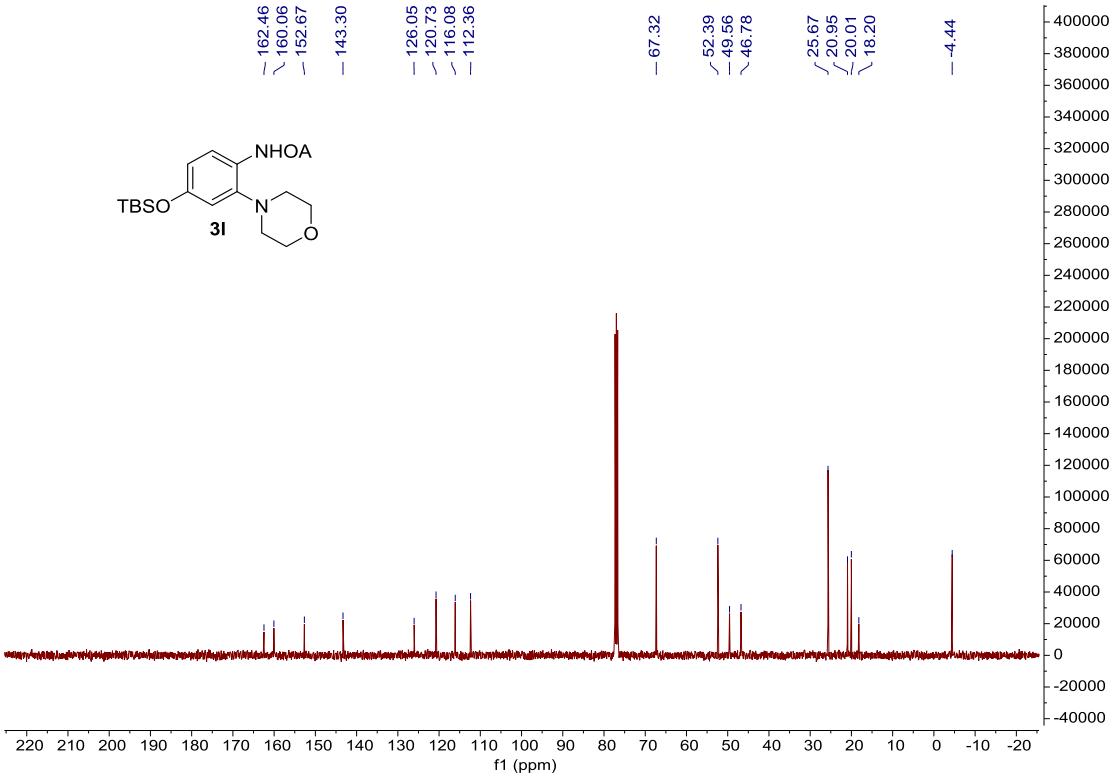
^{13}C NMR (125 MHz) of **3k** in $CDCl_3$



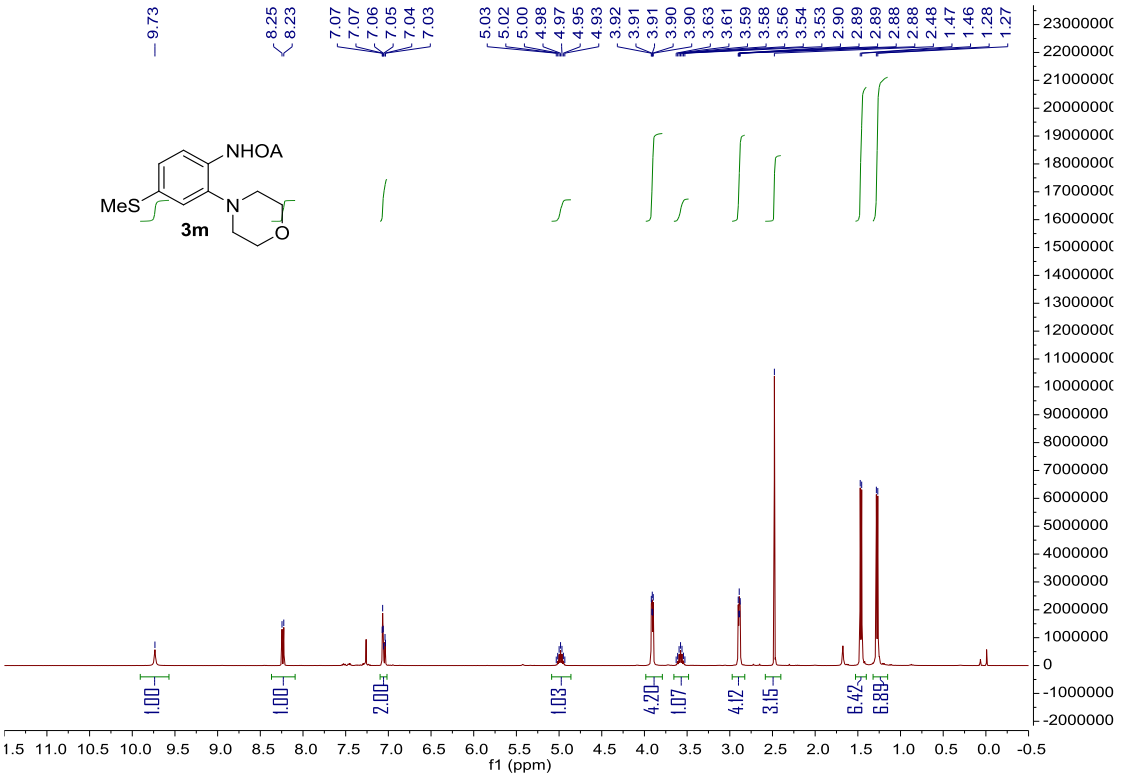
^{1}H NMR (400 MHz) of **3l** in $CDCl_3$



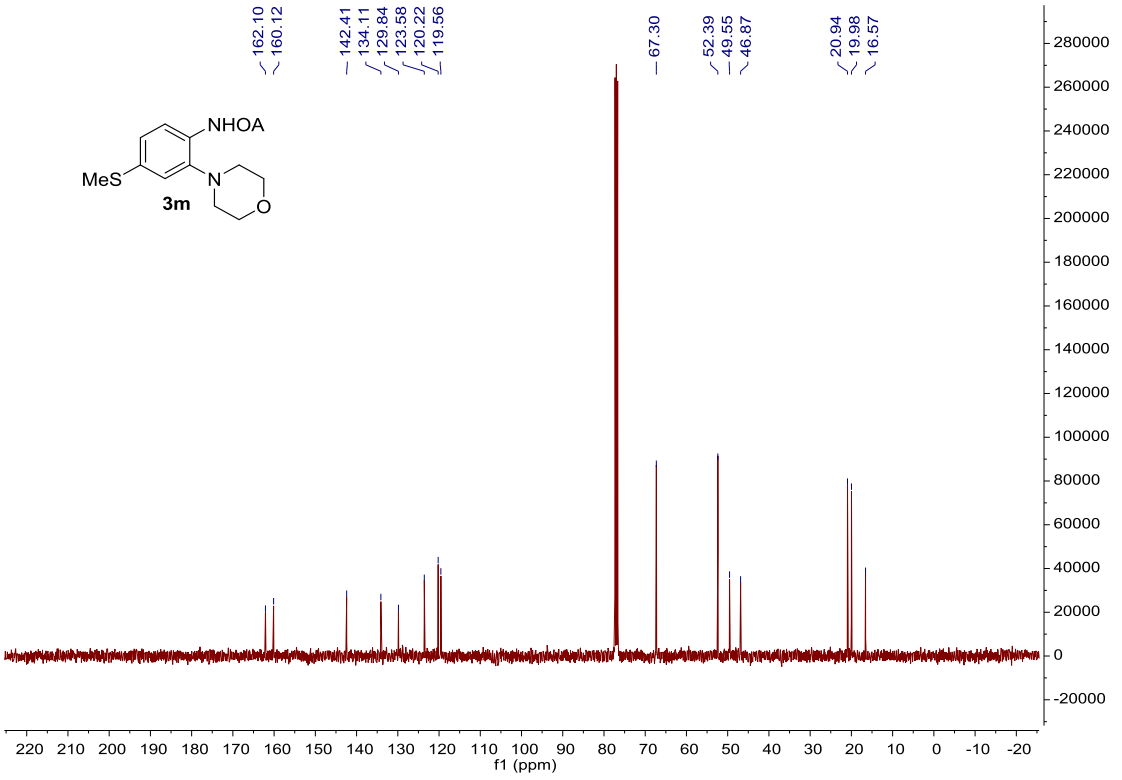
^{13}C NMR (100 MHz) of **3l** in $CDCl_3$



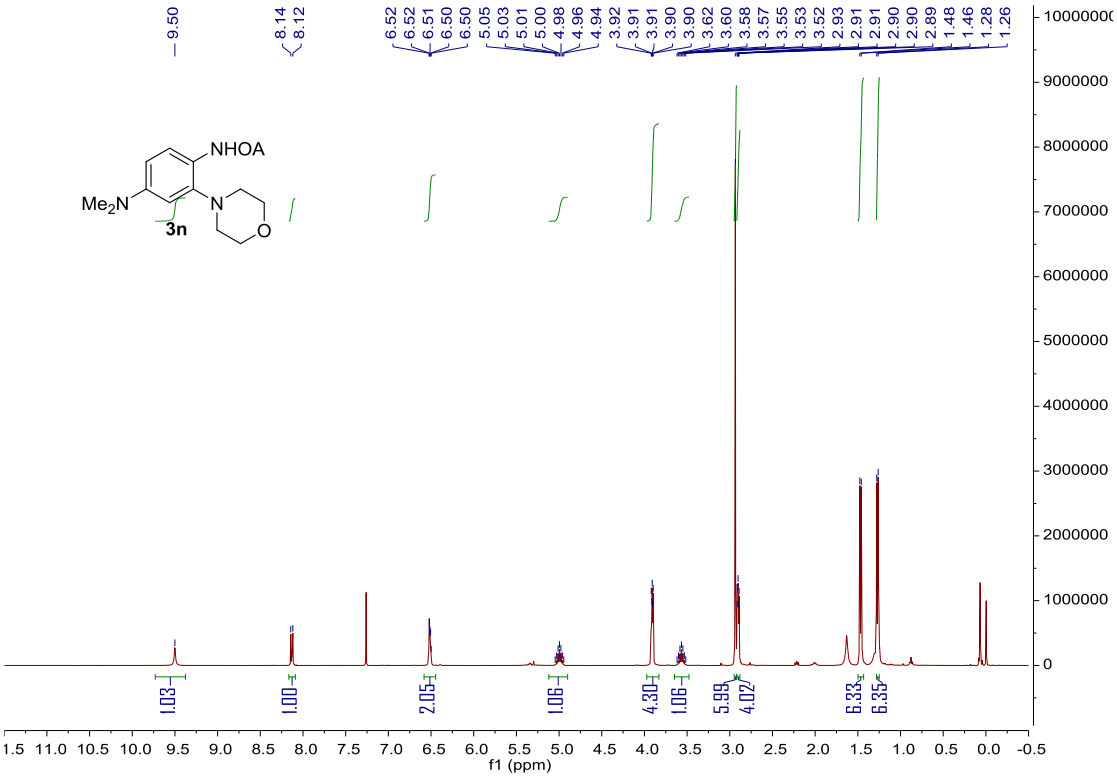
^{1}H NMR (400 MHz) of **3m** in $CDCl_3$



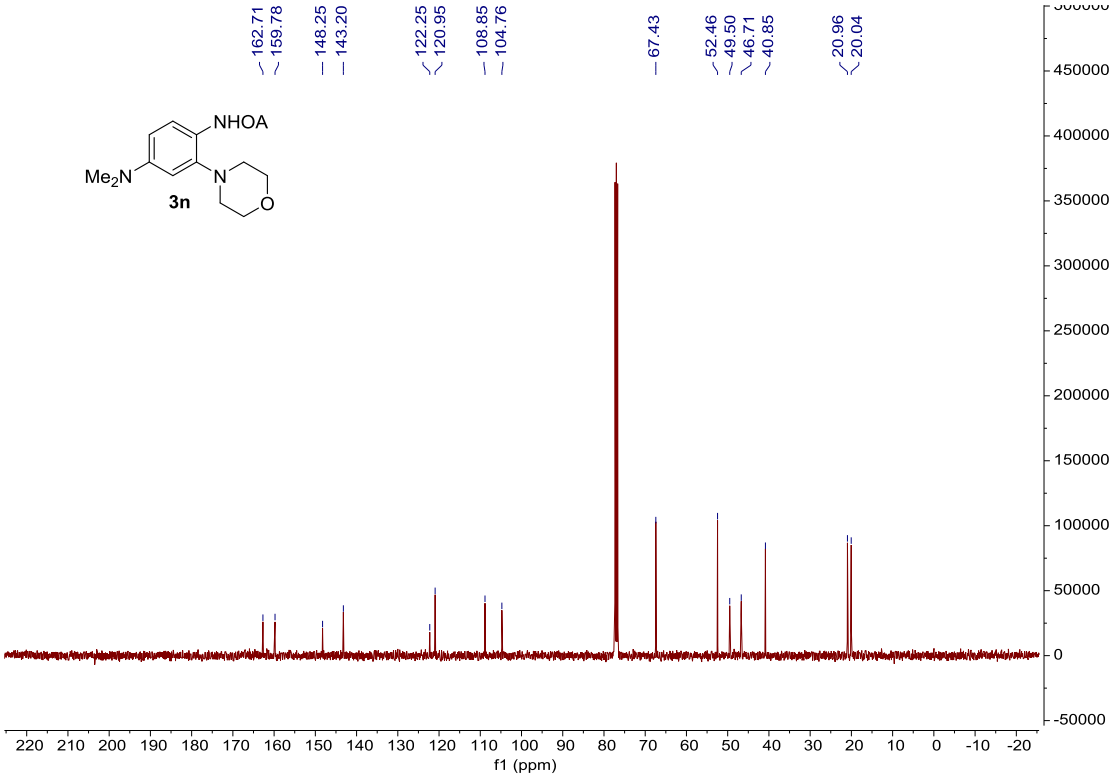
^{13}C NMR (100 MHz) of **3m** in $CDCl_3$



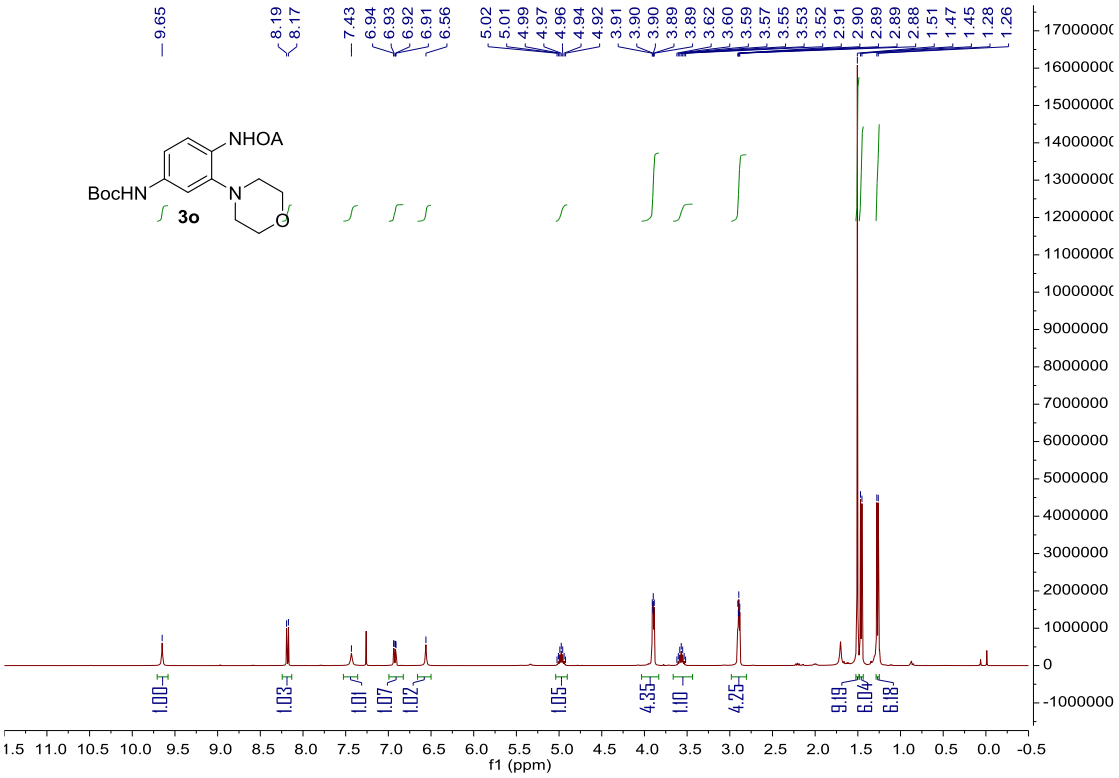
^{1}H NMR (400 MHz) of **3n** in $CDCl_3$



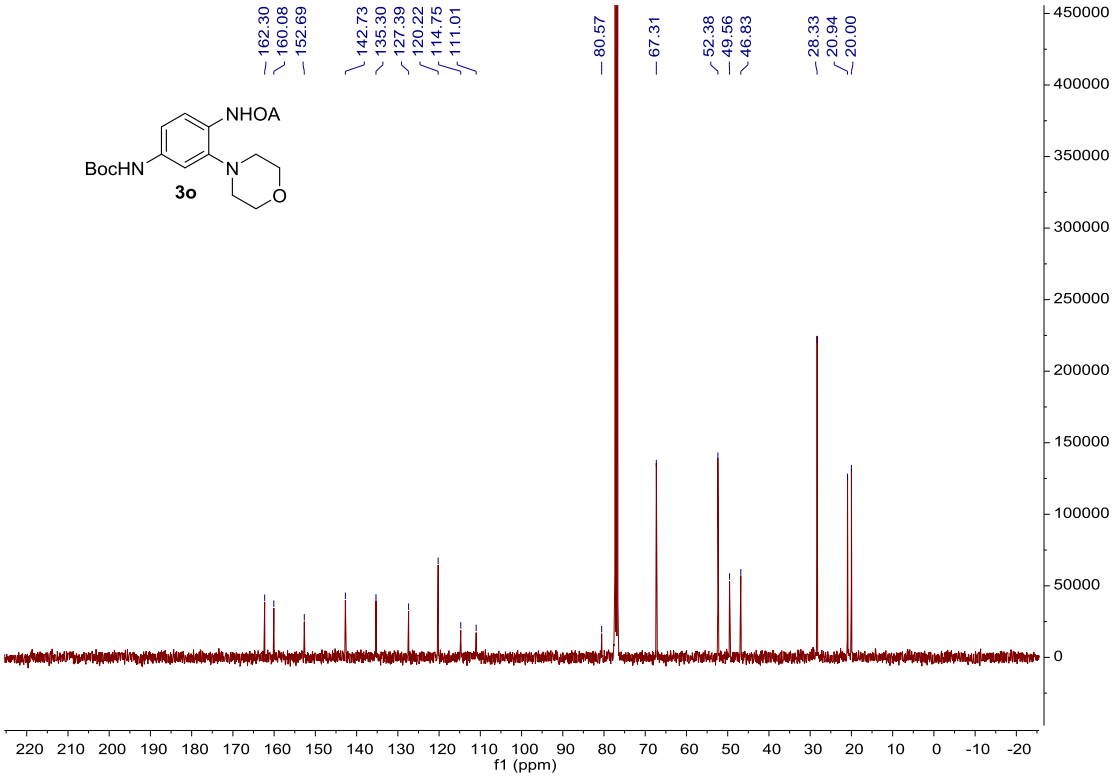
^{13}C NMR (100 MHz) of **3n** in $CDCl_3$



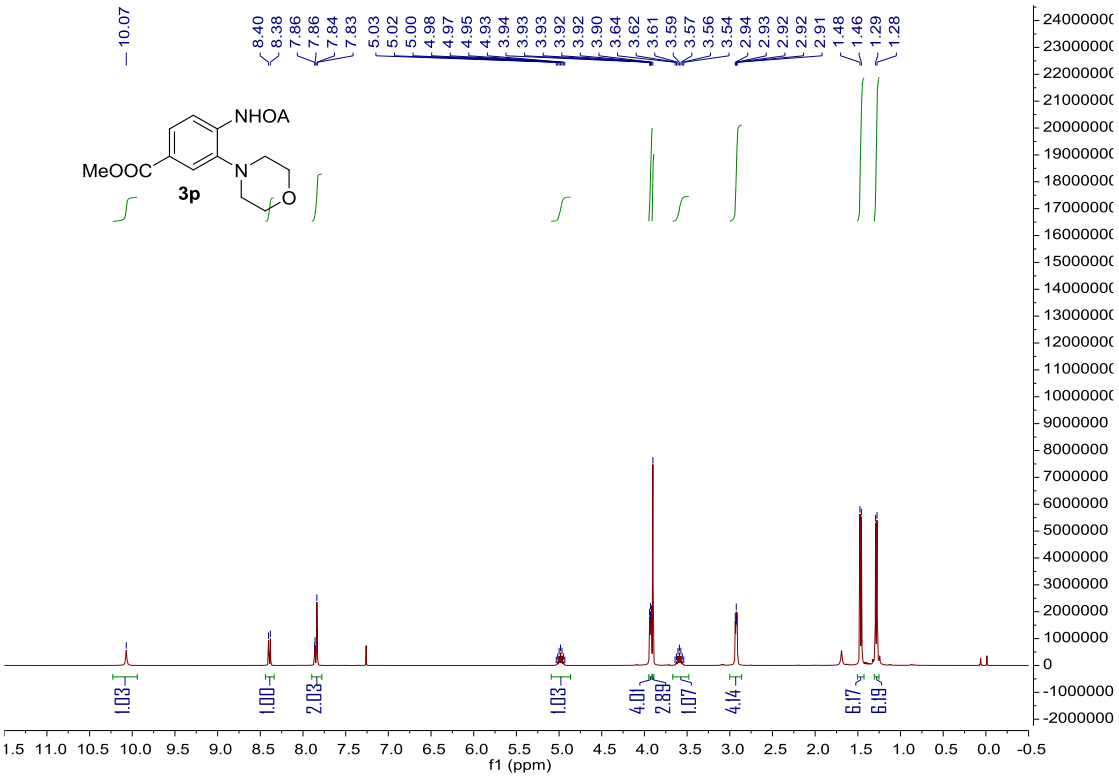
^{1}H NMR (400 MHz) of **3o** in $CDCl_3$



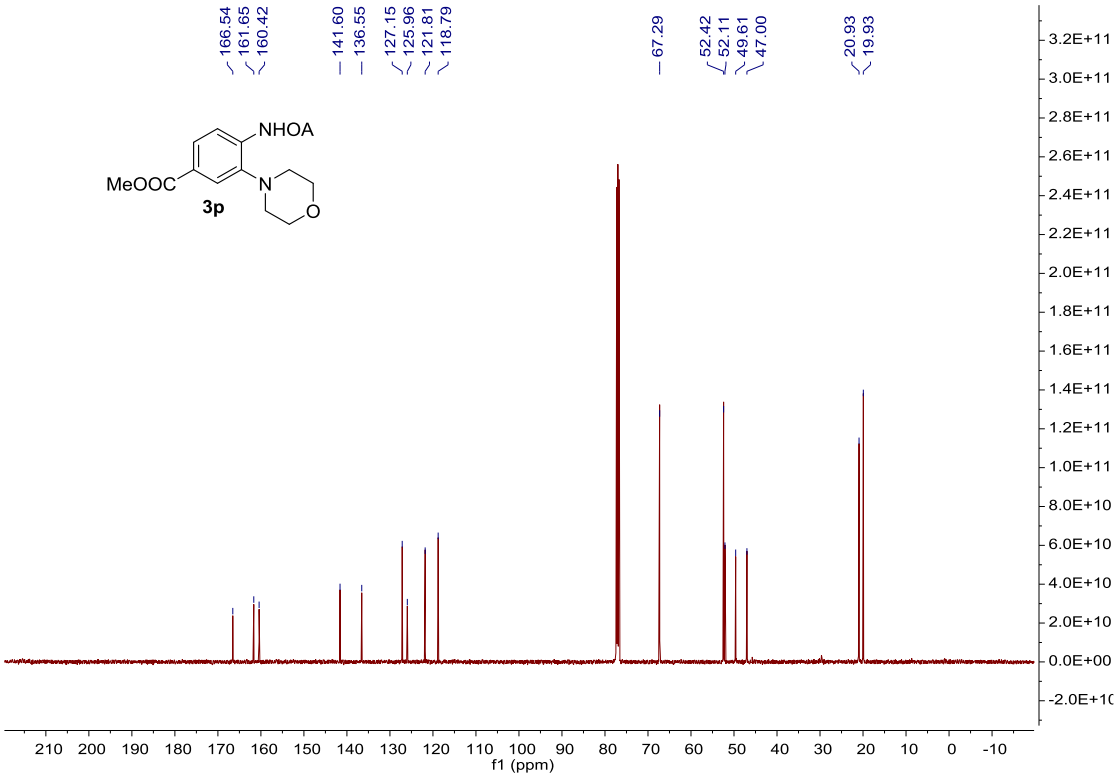
^{13}C NMR (100 MHz) of **3o** in $CDCl_3$



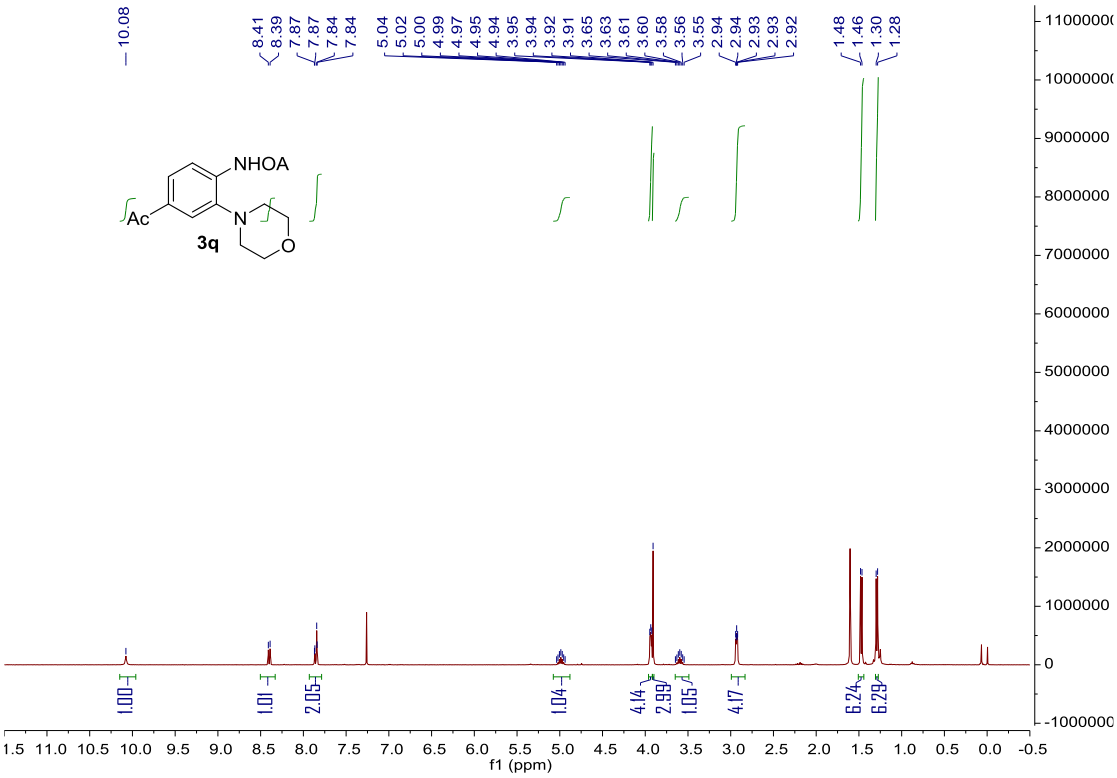
^{1}H NMR (400 MHz) of **3p** in $CDCl_3$



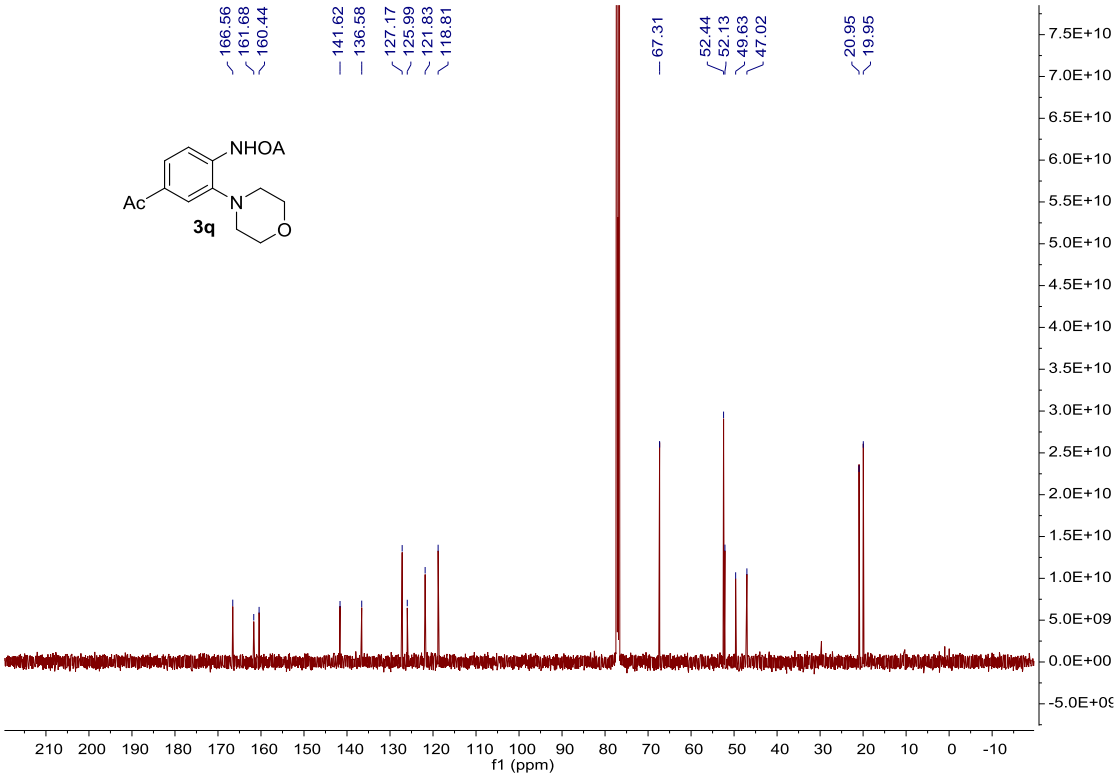
^{13}C NMR (125 MHz) of **3p** in $CDCl_3$



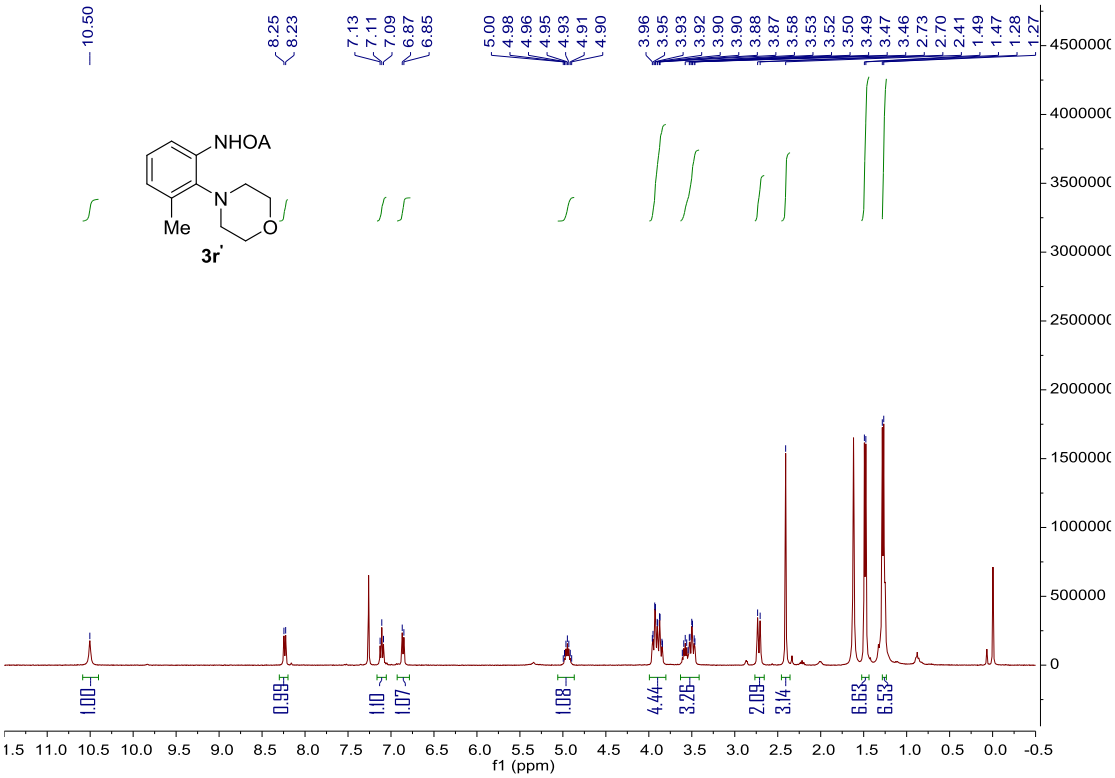
^{1}H NMR (400 MHz) of **3q** in $CDCl_3$



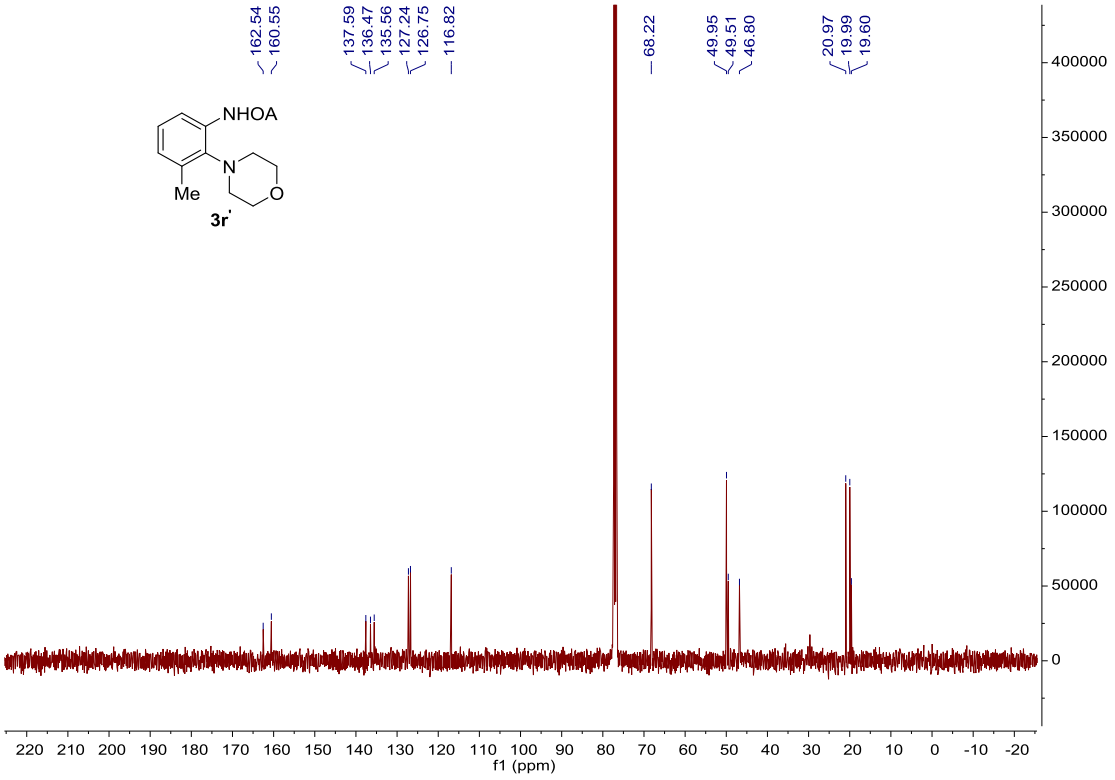
^{13}C NMR (125 MHz) of **3q** in $CDCl_3$



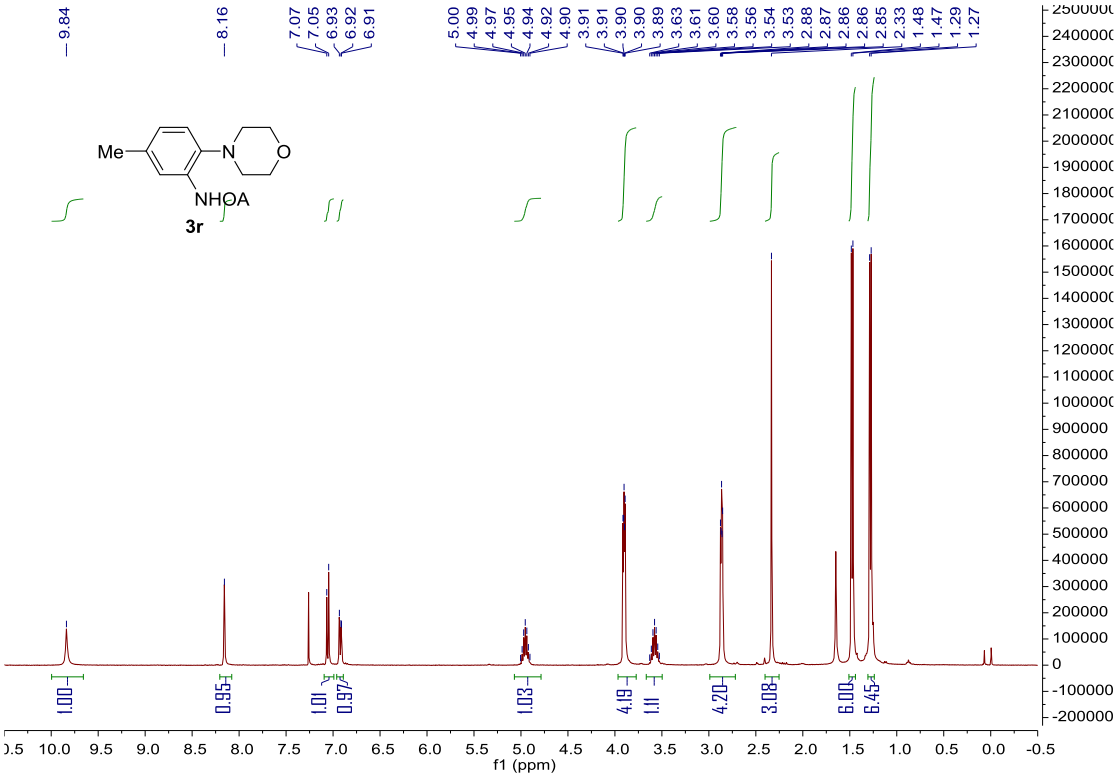
^{1}H NMR (400 MHz) of **3r'** in $CDCl_3$



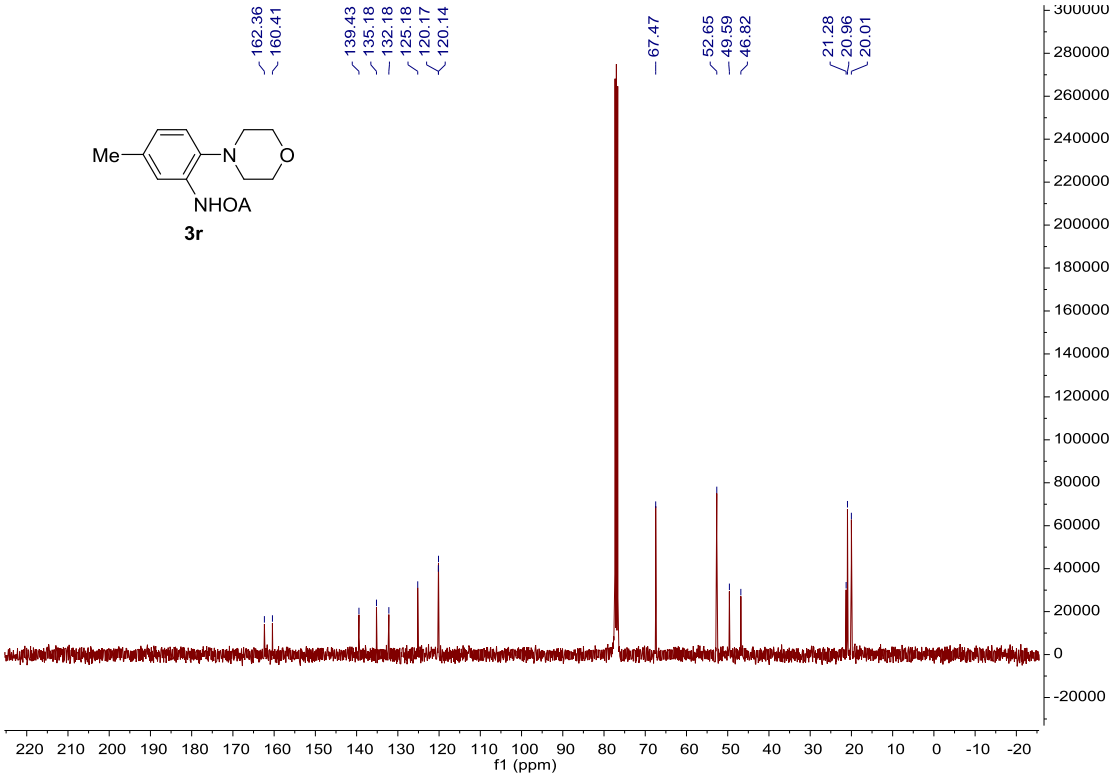
^{13}C NMR (100 MHz) of **3r'** in $CDCl_3$



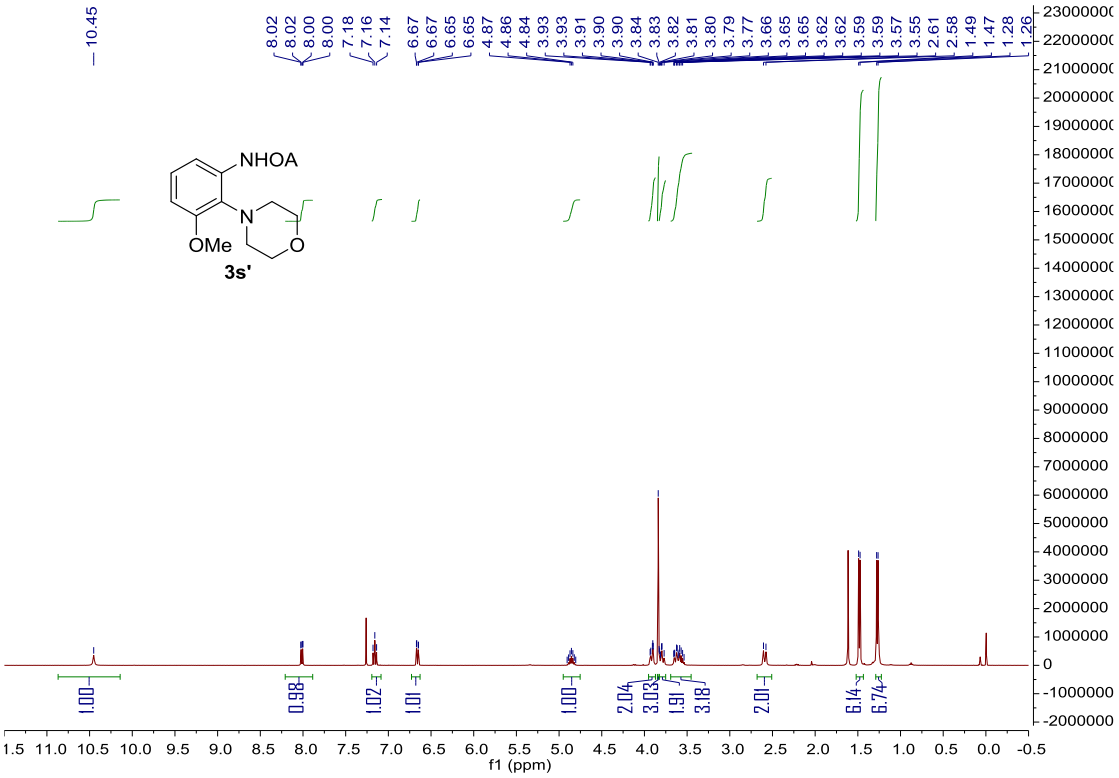
^{1}H NMR (400 MHz) of **3r** in $CDCl_3$



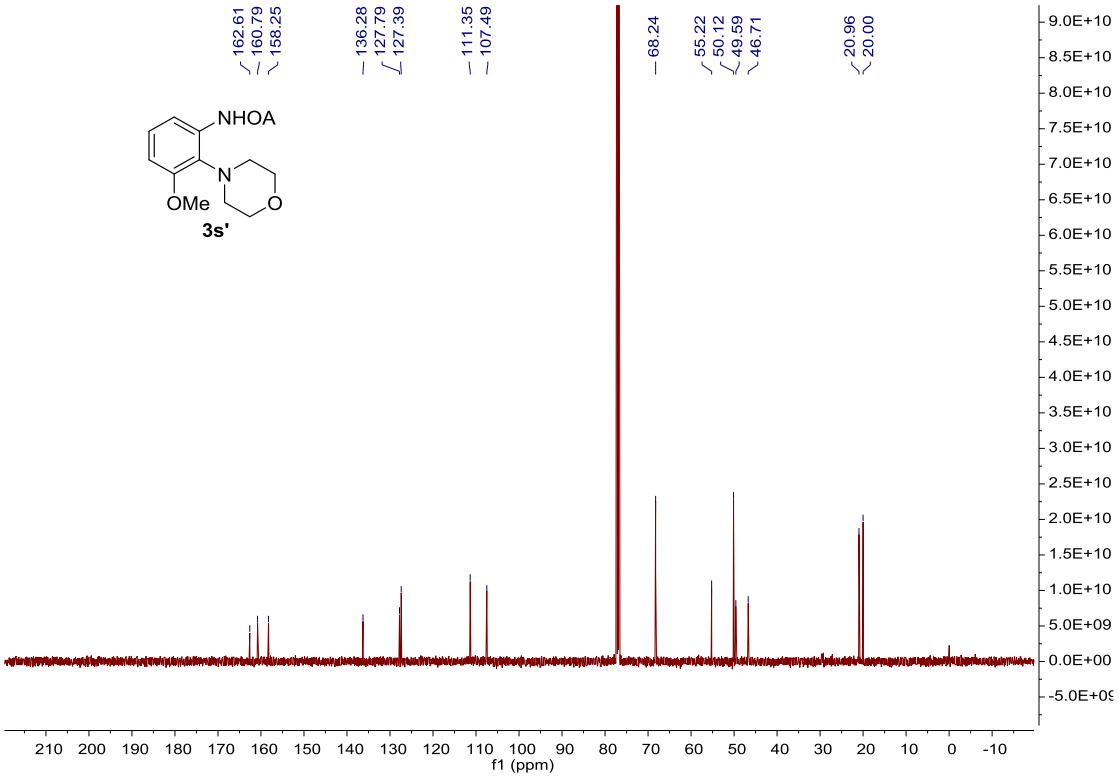
^{13}C NMR (100 MHz) of **3r** in $CDCl_3$



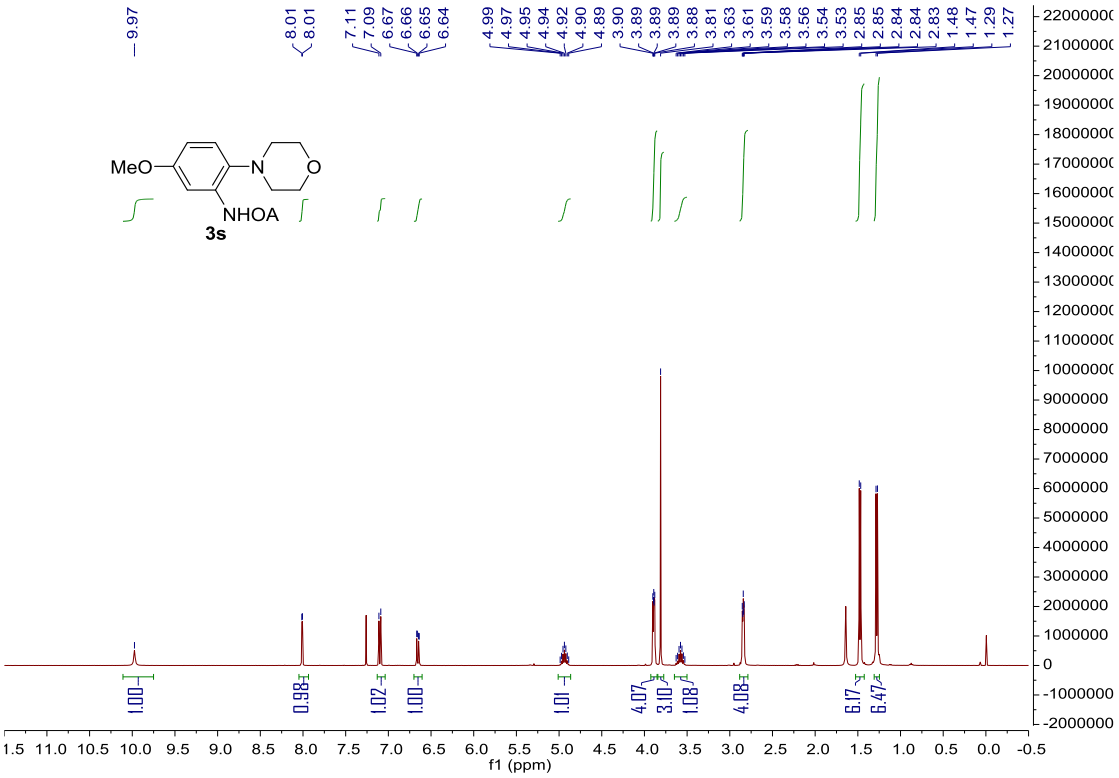
^{1}H NMR (400 MHz) of **3s'** in $CDCl_3$



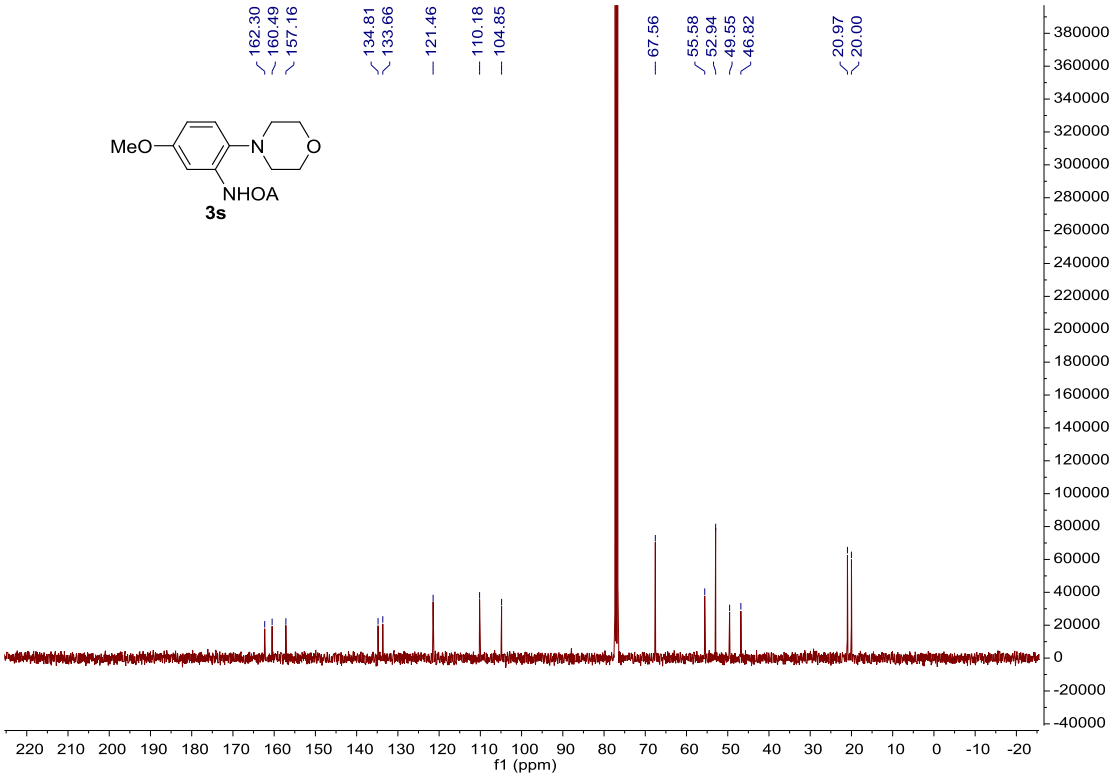
^{13}C NMR (125 MHz) of **3s'** in $CDCl_3$



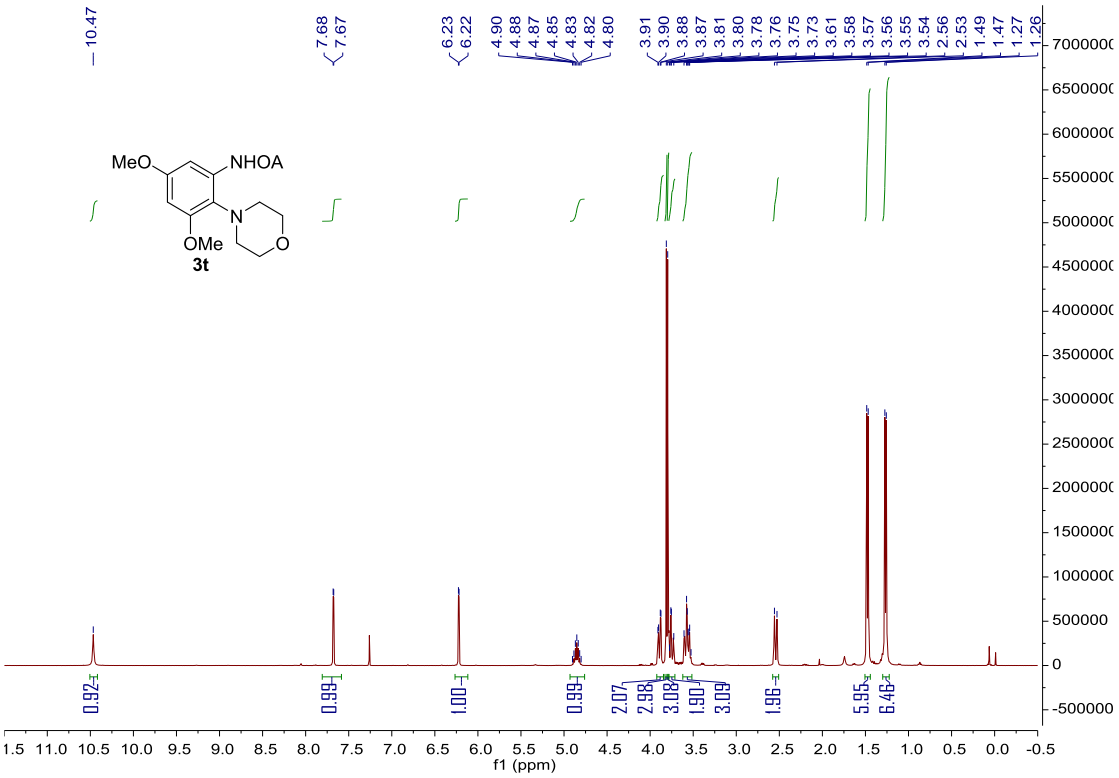
^{1}H NMR (400 MHz) of **3s** in $CDCl_3$



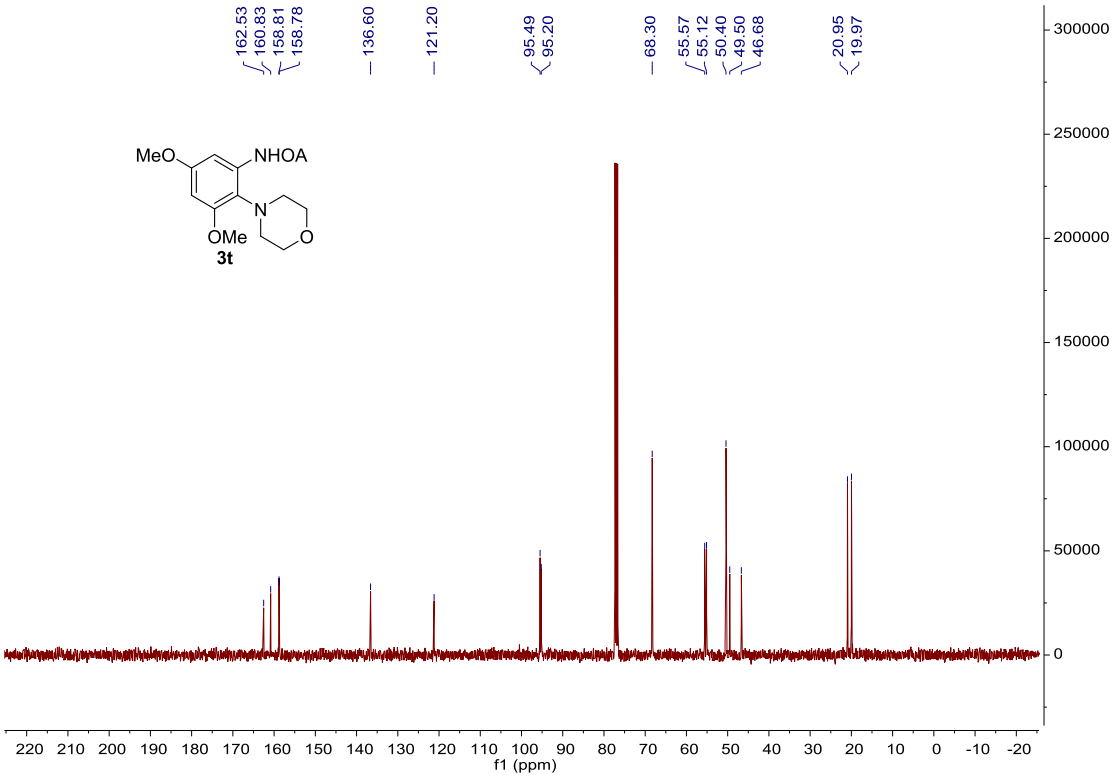
^{13}C NMR (100 MHz) of **3s** in $CDCl_3$



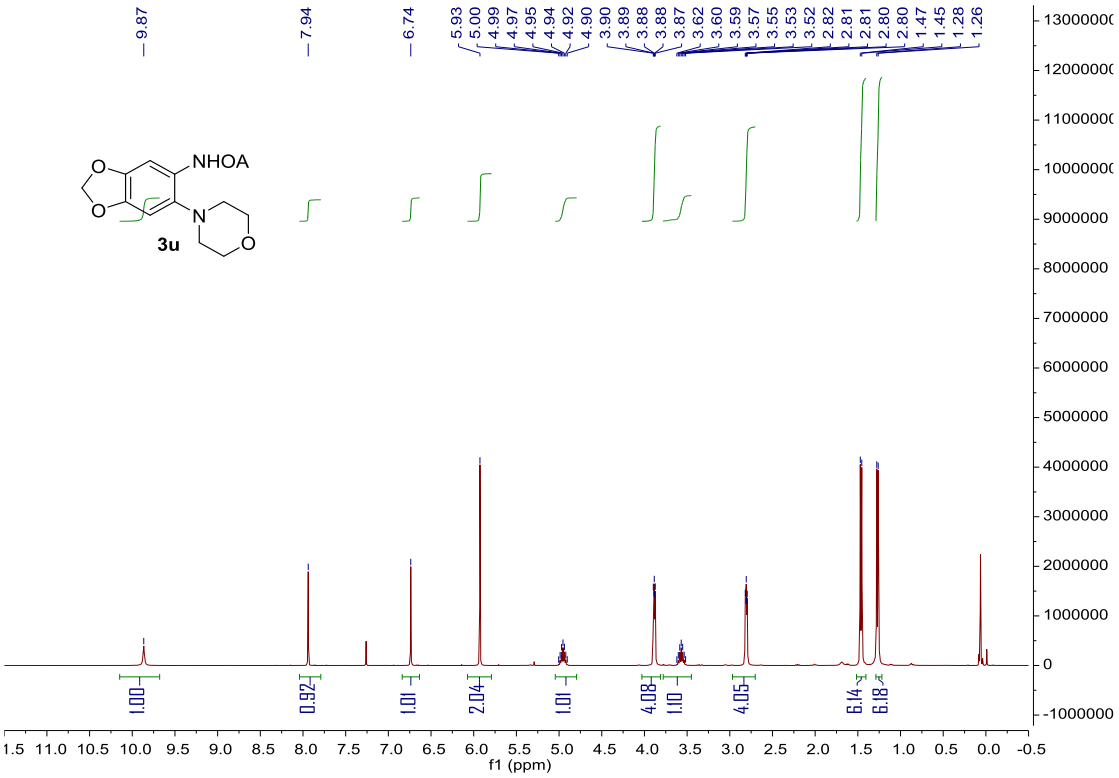
^{1}H NMR (400 MHz) of **3t** in $CDCl_3$



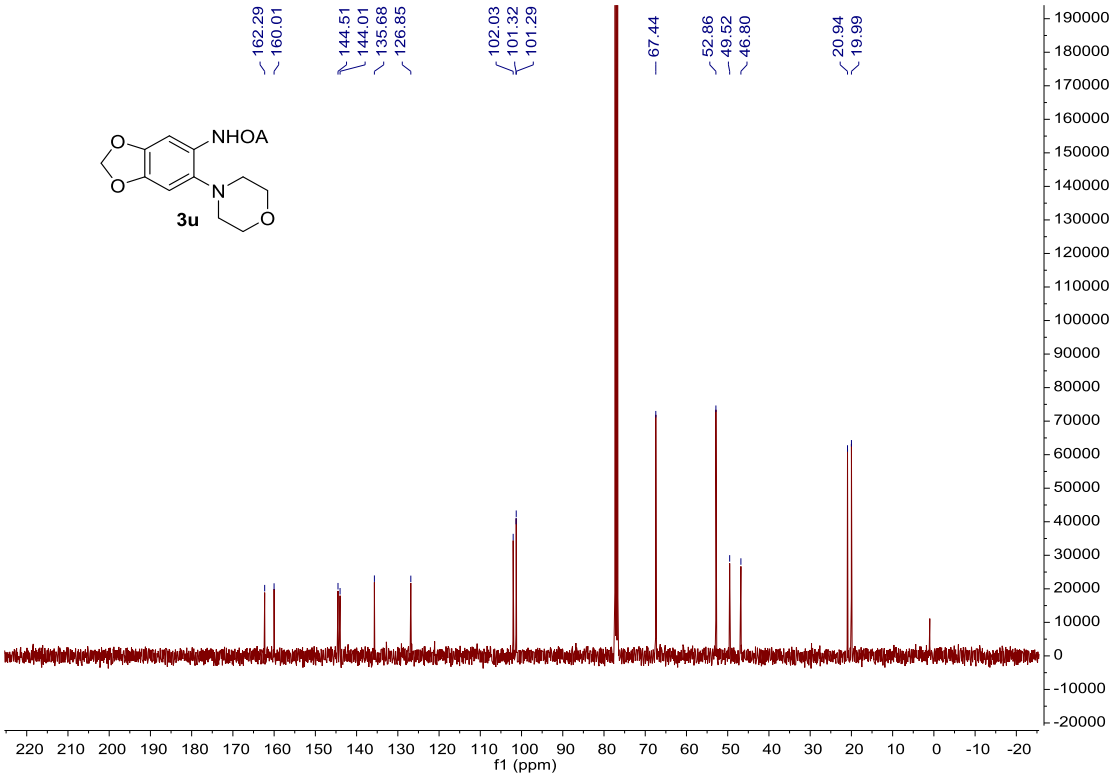
^{13}C NMR (100 MHz) of **3t** in $CDCl_3$



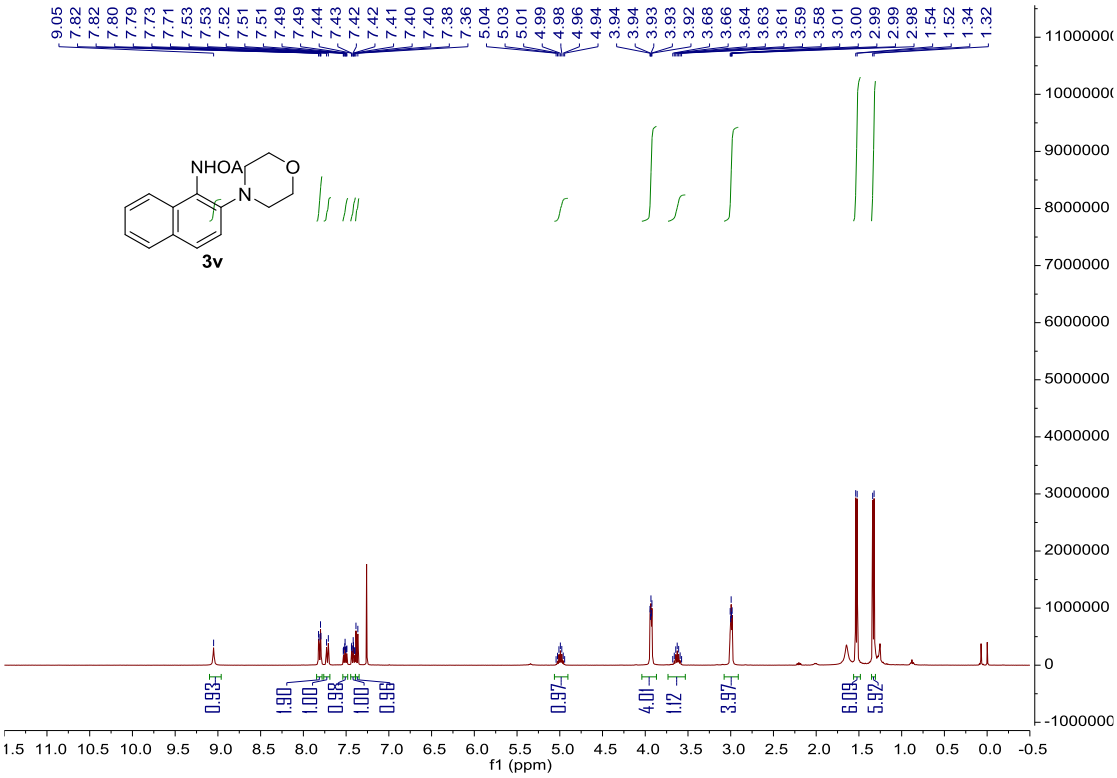
^{1}H NMR (400 MHz) of **3u** in $CDCl_3$



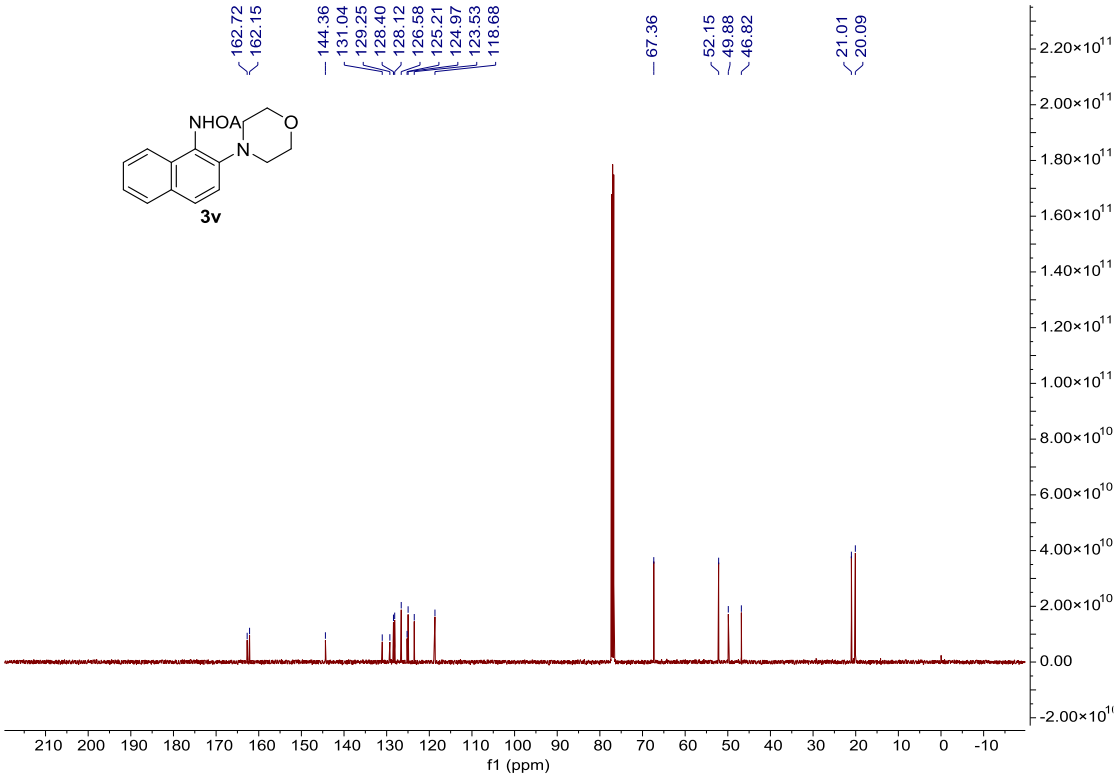
^{13}C NMR (100 MHz) of **3u** in $CDCl_3$



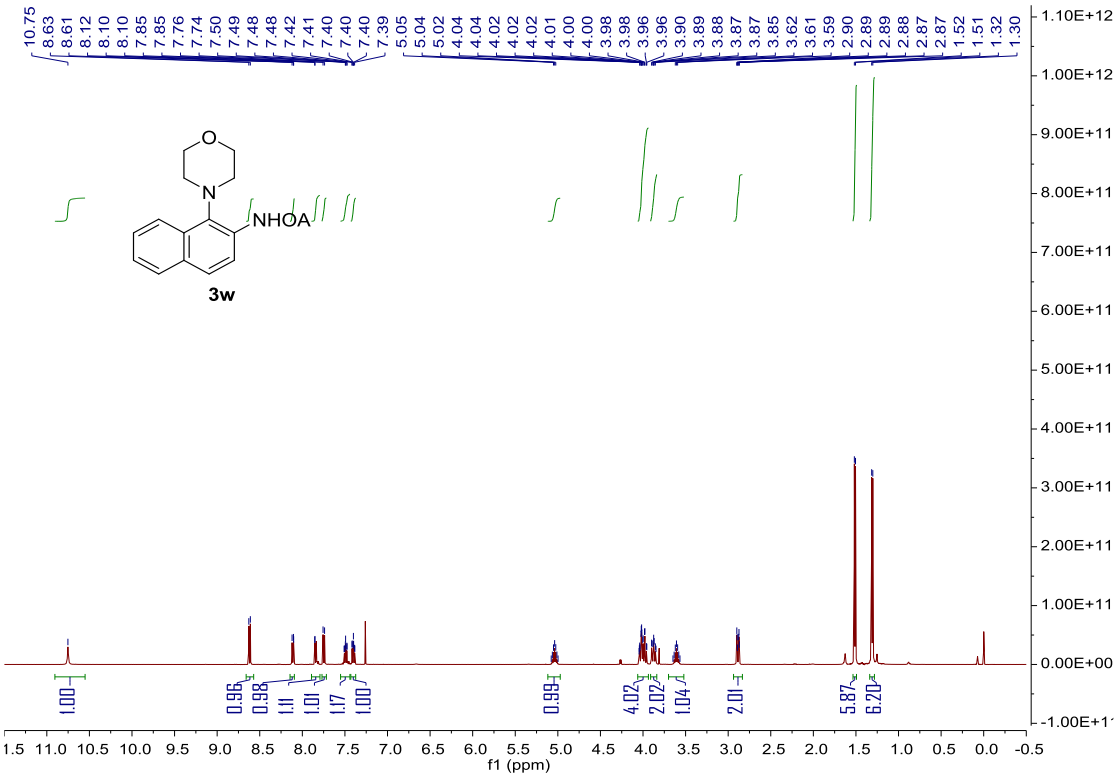
^{1}H NMR (400 MHz) of **3v** in $CDCl_3$



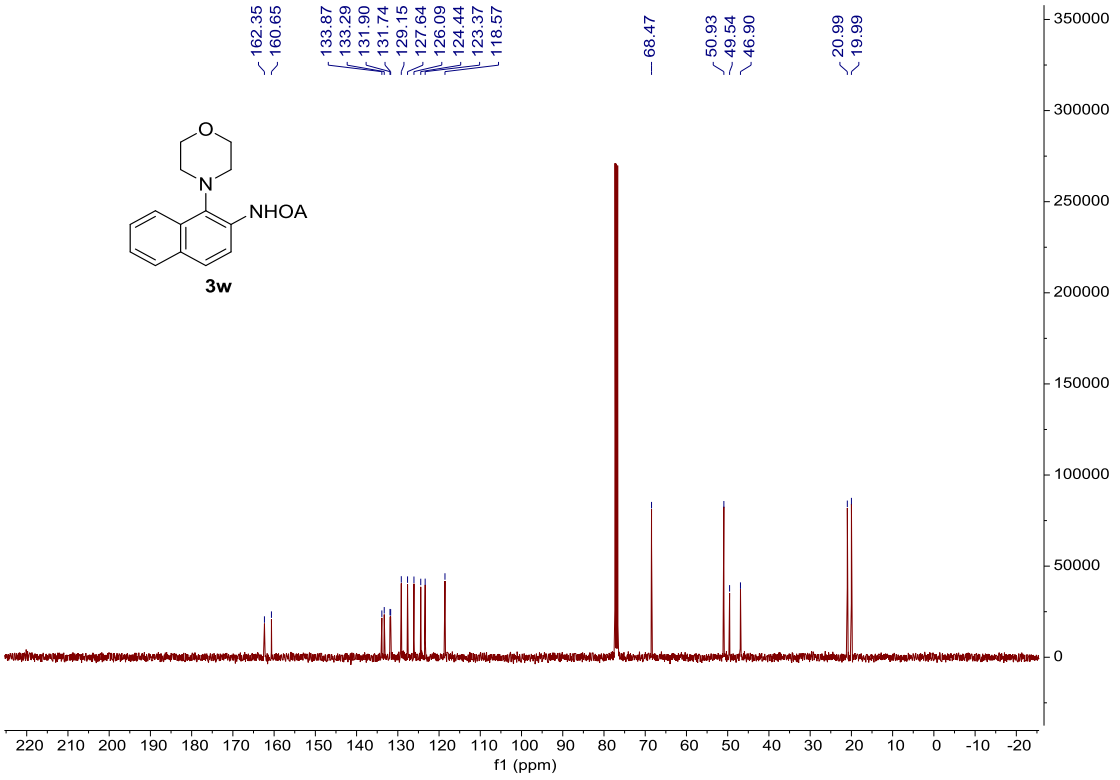
^{13}C NMR (125 MHz) of **3v** in $CDCl_3$



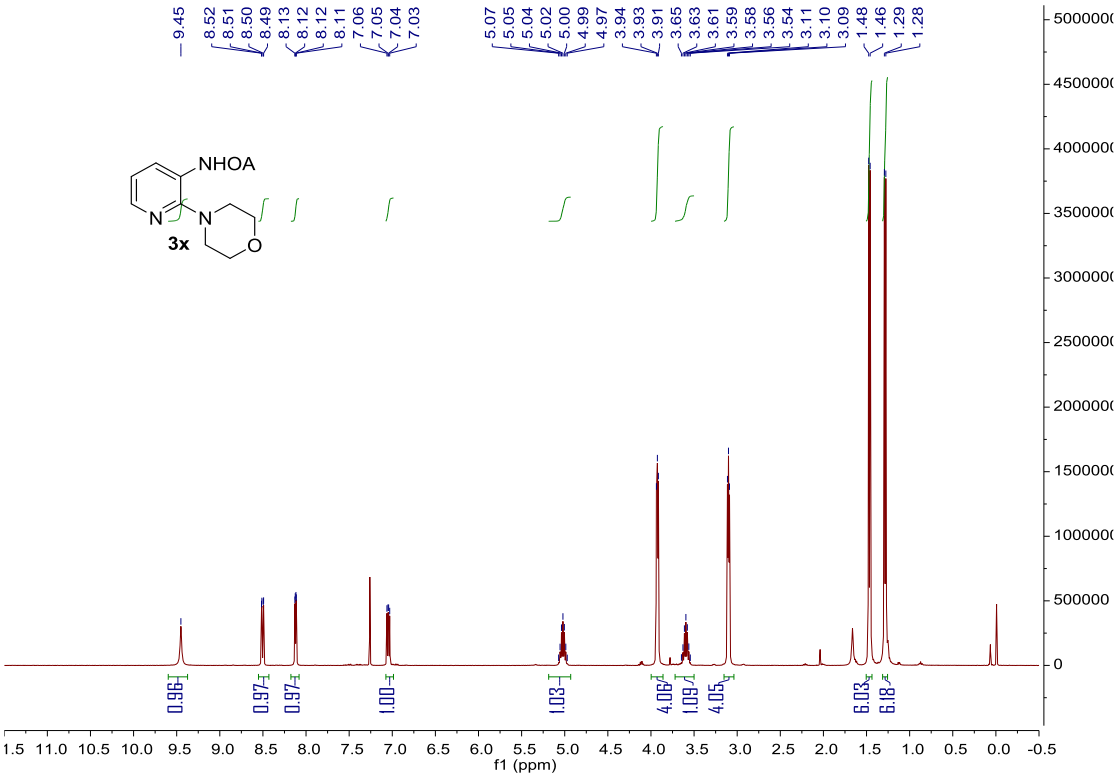
^{1}H NMR (500 MHz) of **3w** in $CDCl_3$



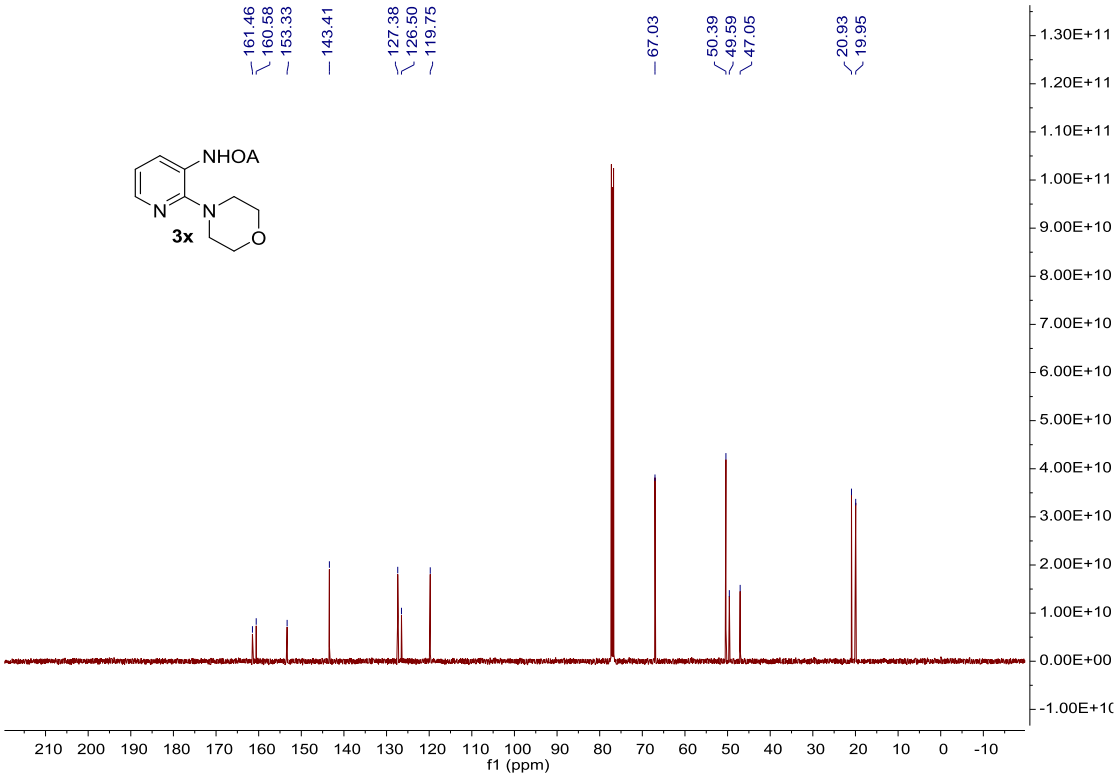
^{13}C NMR (100 MHz) of **3w** in $CDCl_3$



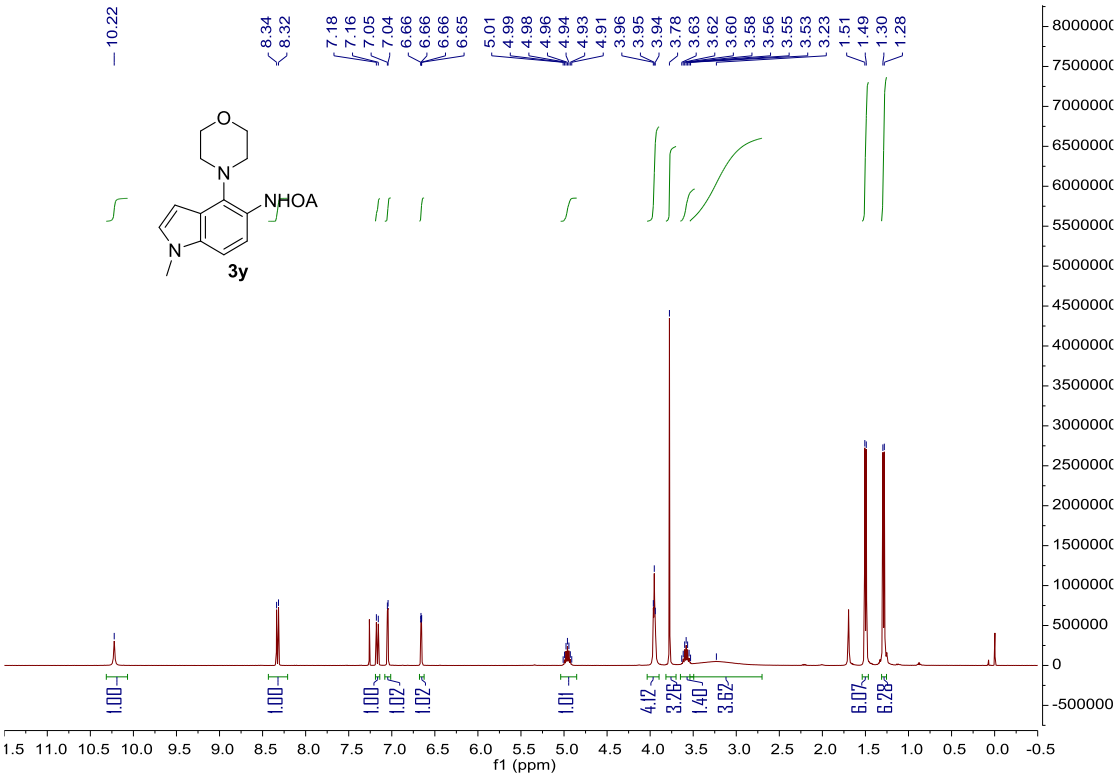
^{1}H NMR (400 MHz) of **3x** in $CDCl_3$



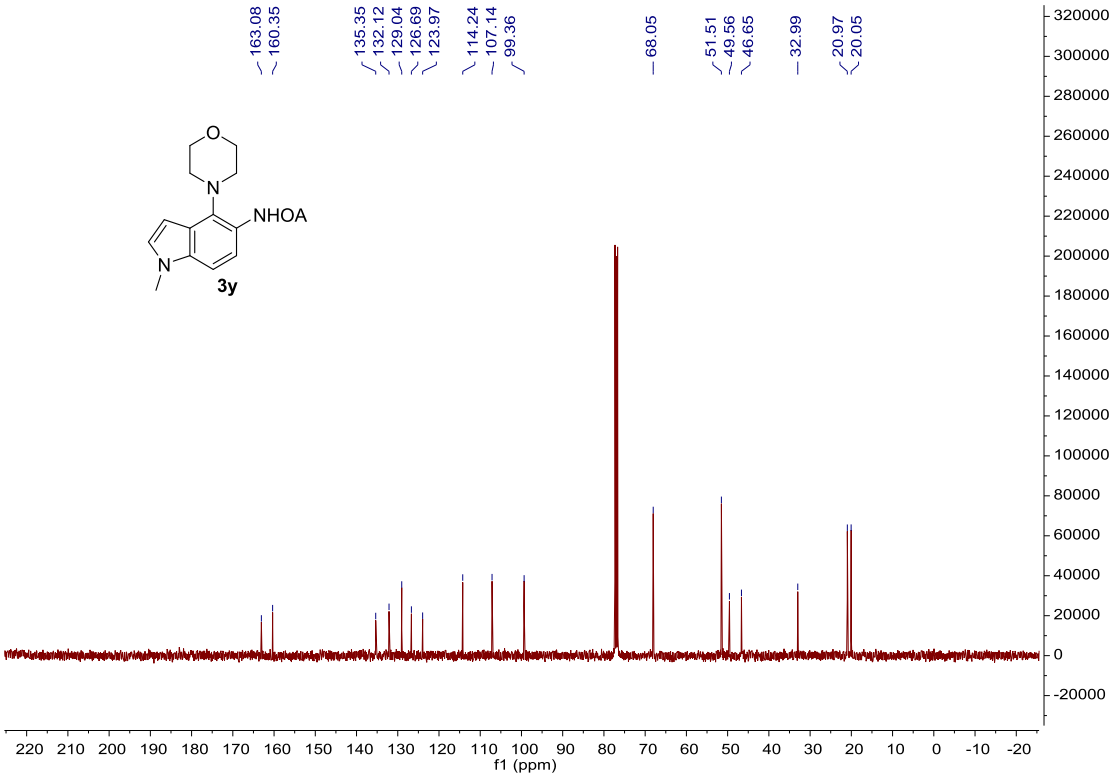
^{13}C NMR (125 MHz) of **3x** in $CDCl_3$



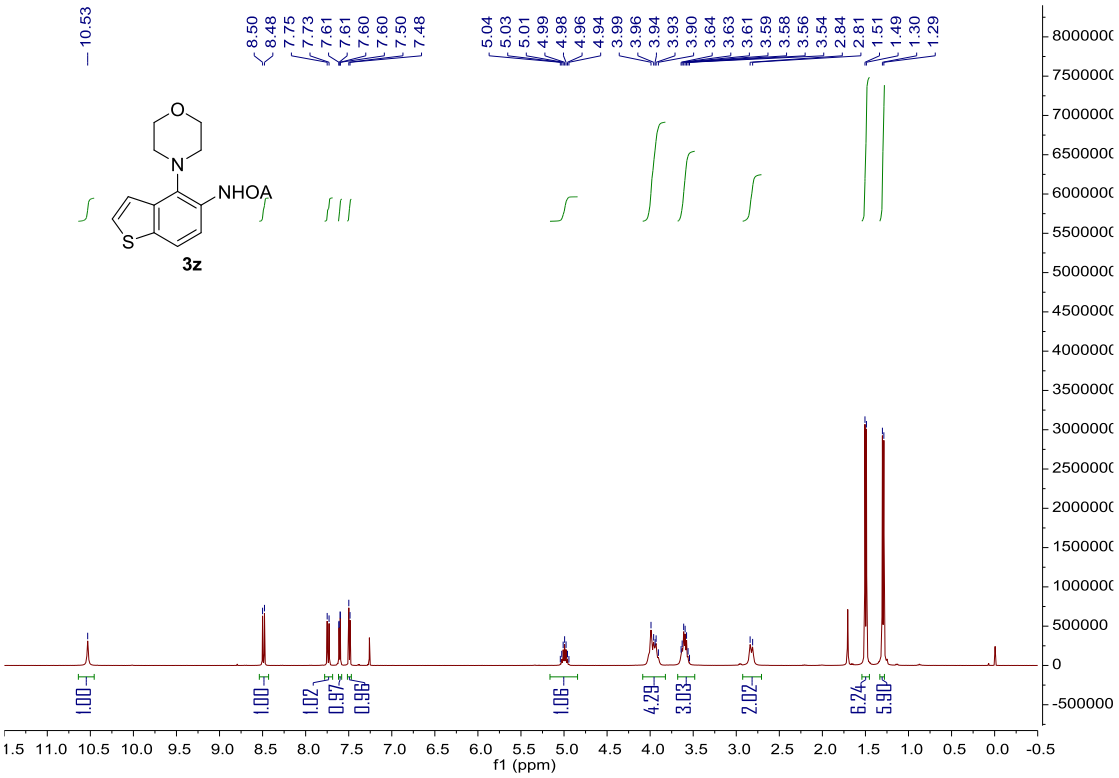
^{1}H NMR (400 MHz) of **3y** in $CDCl_3$



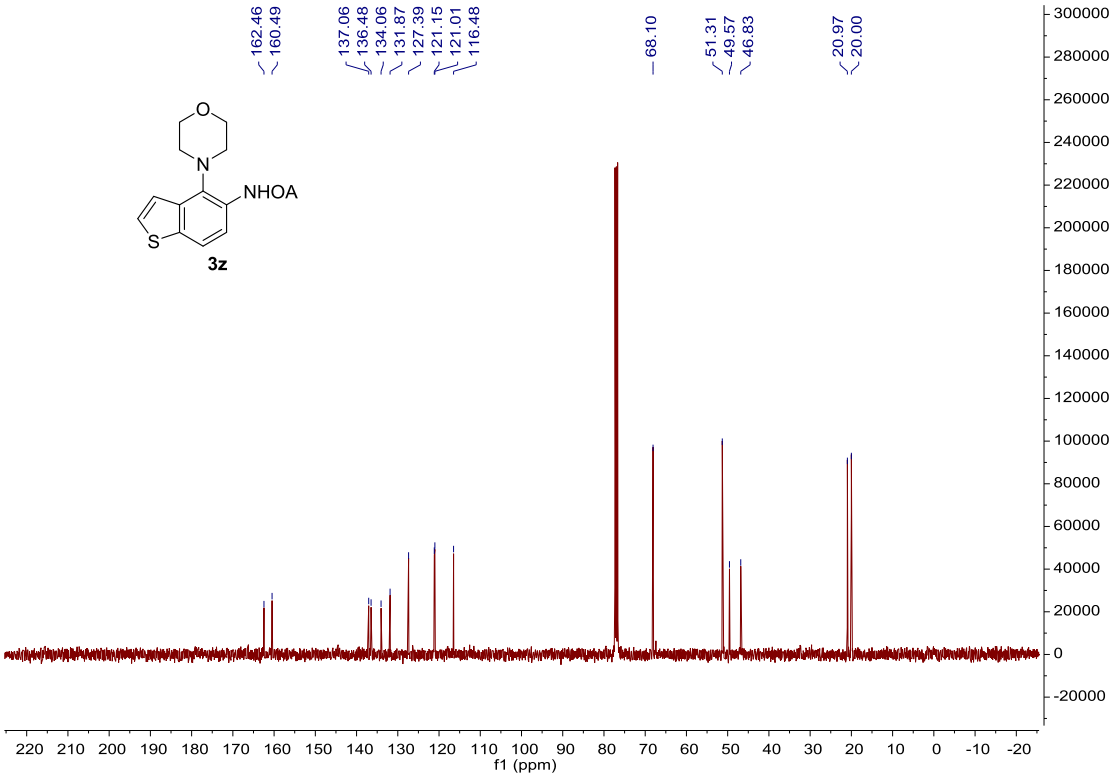
^{13}C NMR (100 MHz) of **3y** in $CDCl_3$



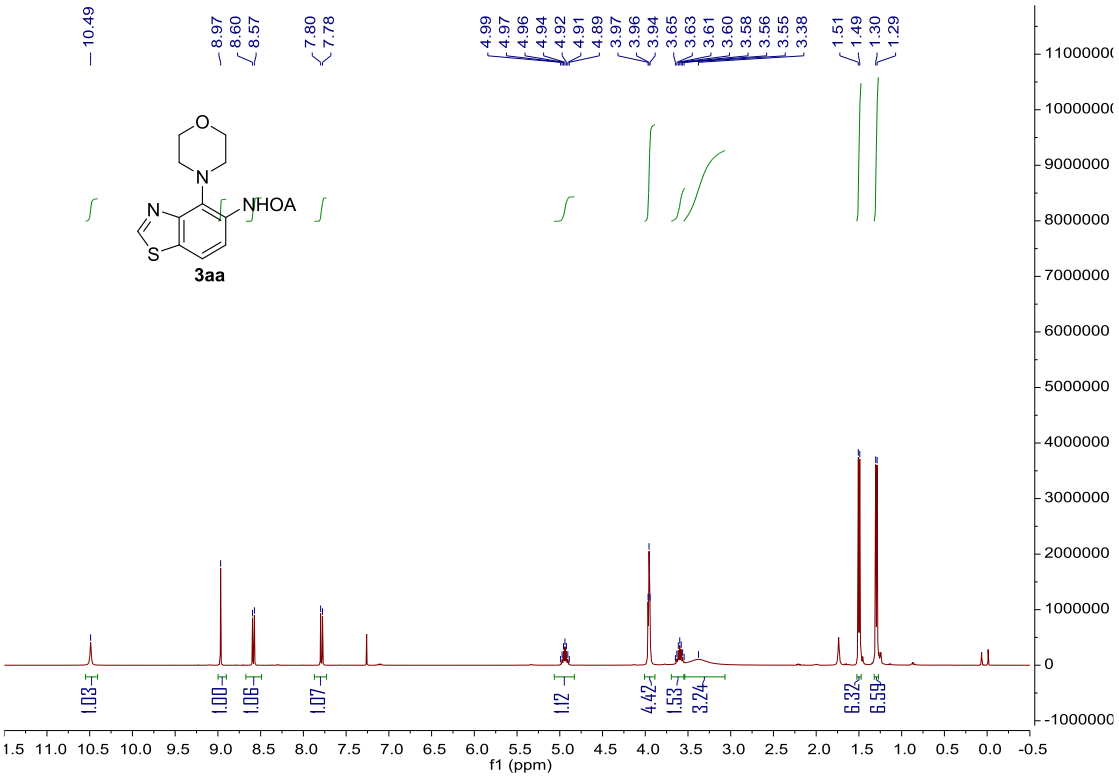
^{1}H NMR (400 MHz) of **3z** in $CDCl_3$



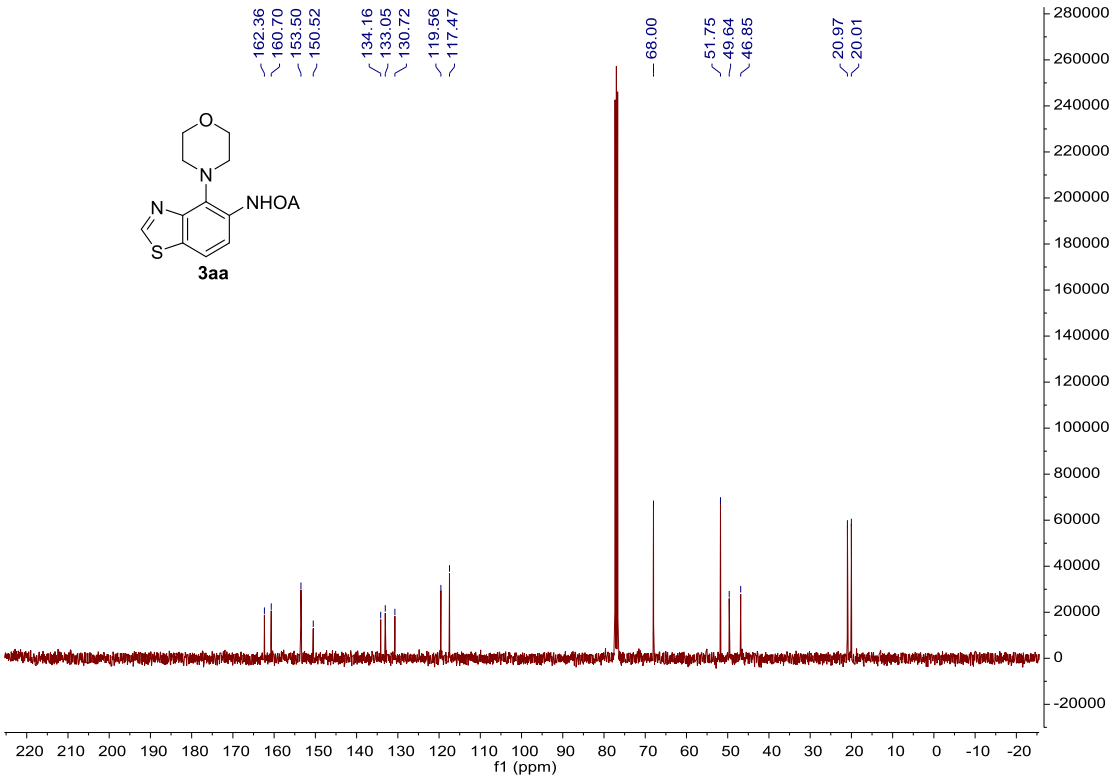
^{13}C NMR (100 MHz) of **3z** in $CDCl_3$



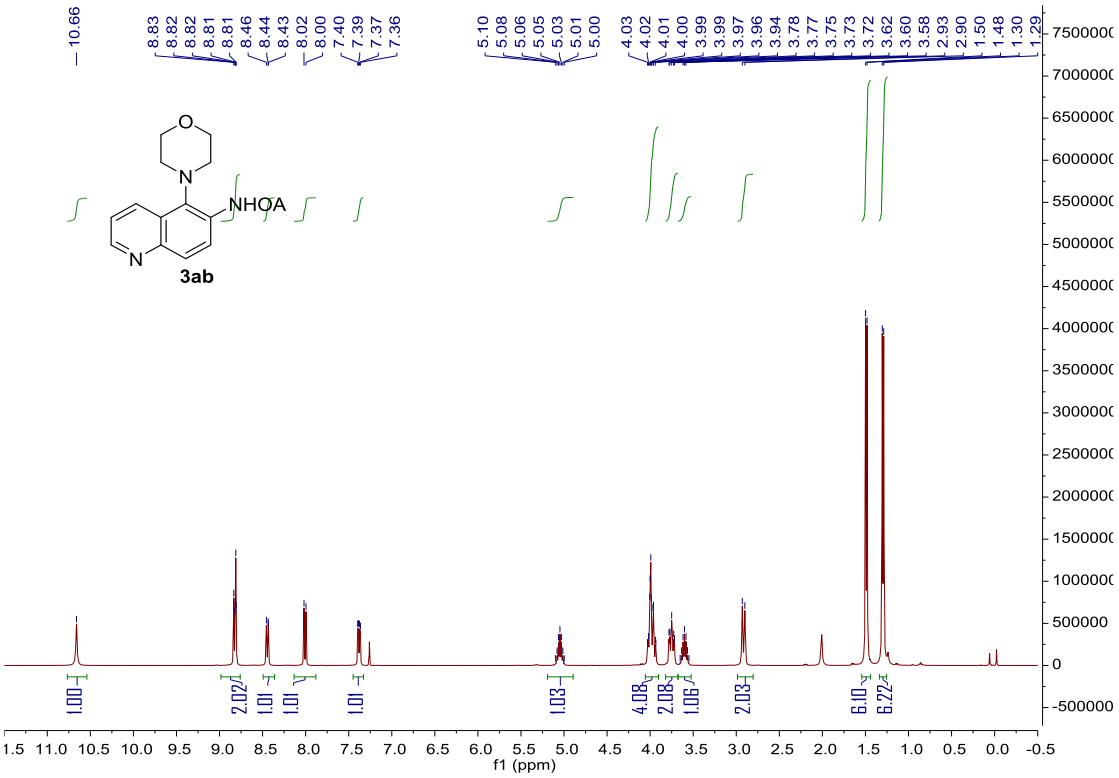
^{1}H NMR (400 MHz) of **3aa** in $CDCl_3$



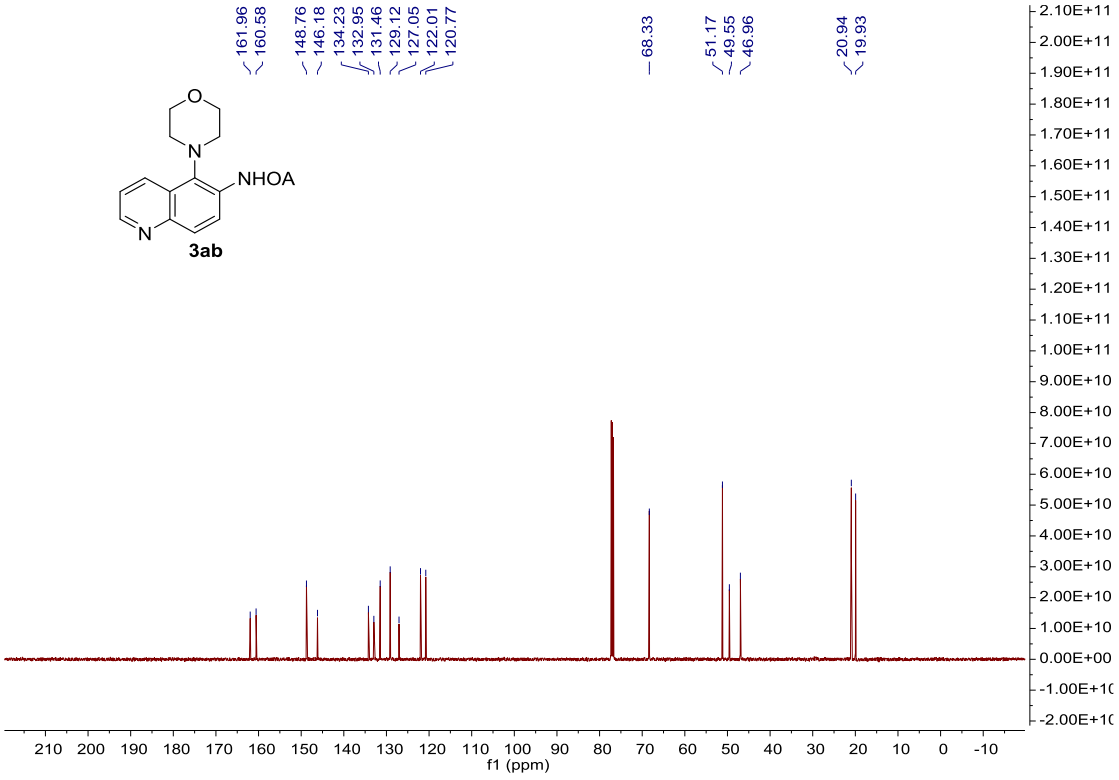
^{13}C NMR (100 MHz) of **3aa** in $CDCl_3$



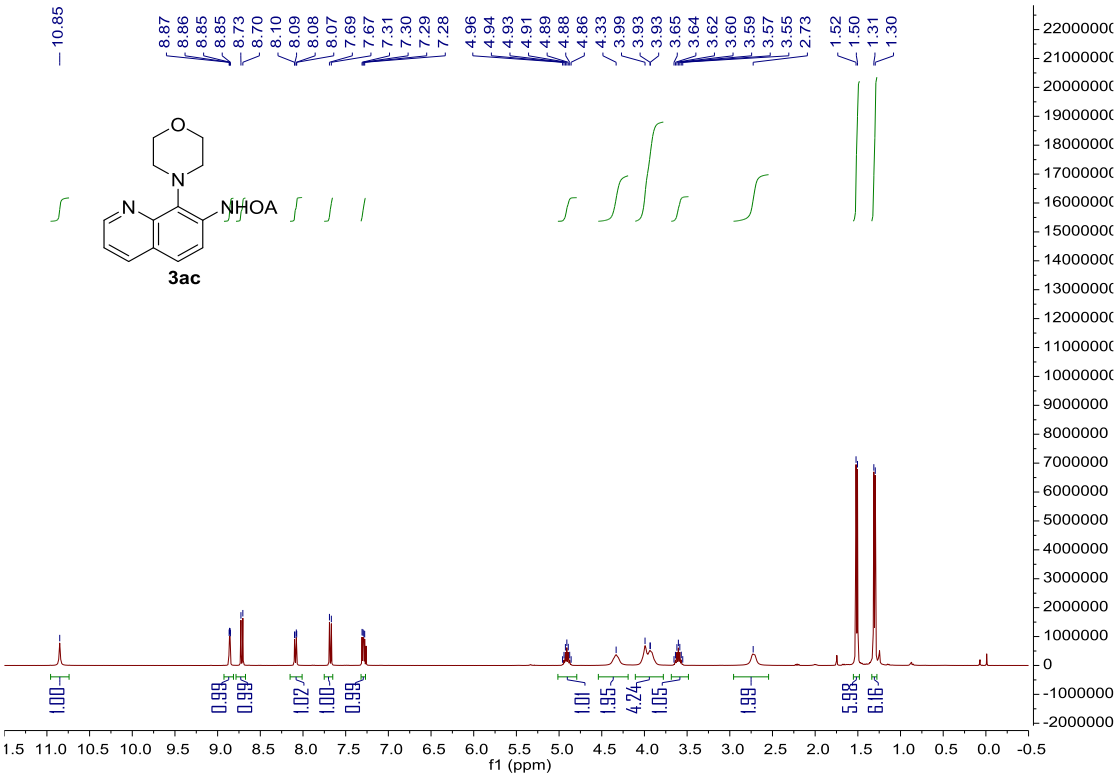
^{1}H NMR (400 MHz) of **3ab** in $CDCl_3$



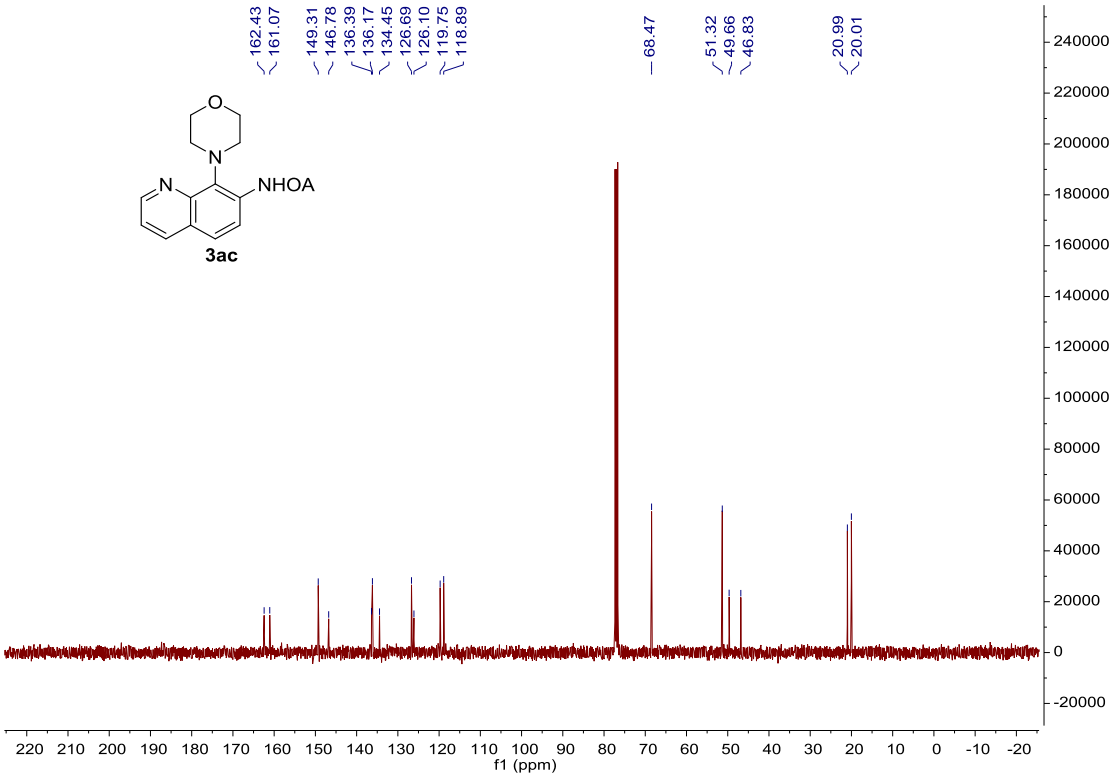
^{13}C NMR (125 MHz) of **3ab** in $CDCl_3$



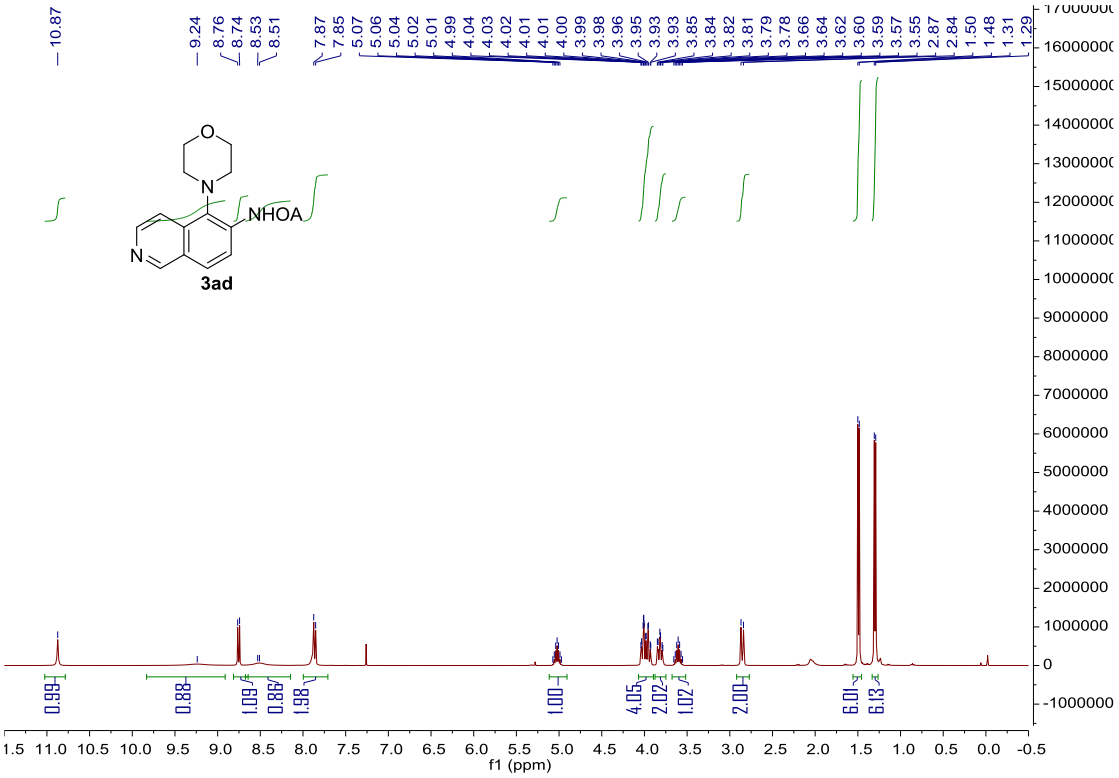
^{1}H NMR (400 MHz) of **3ac** in $CDCl_3$



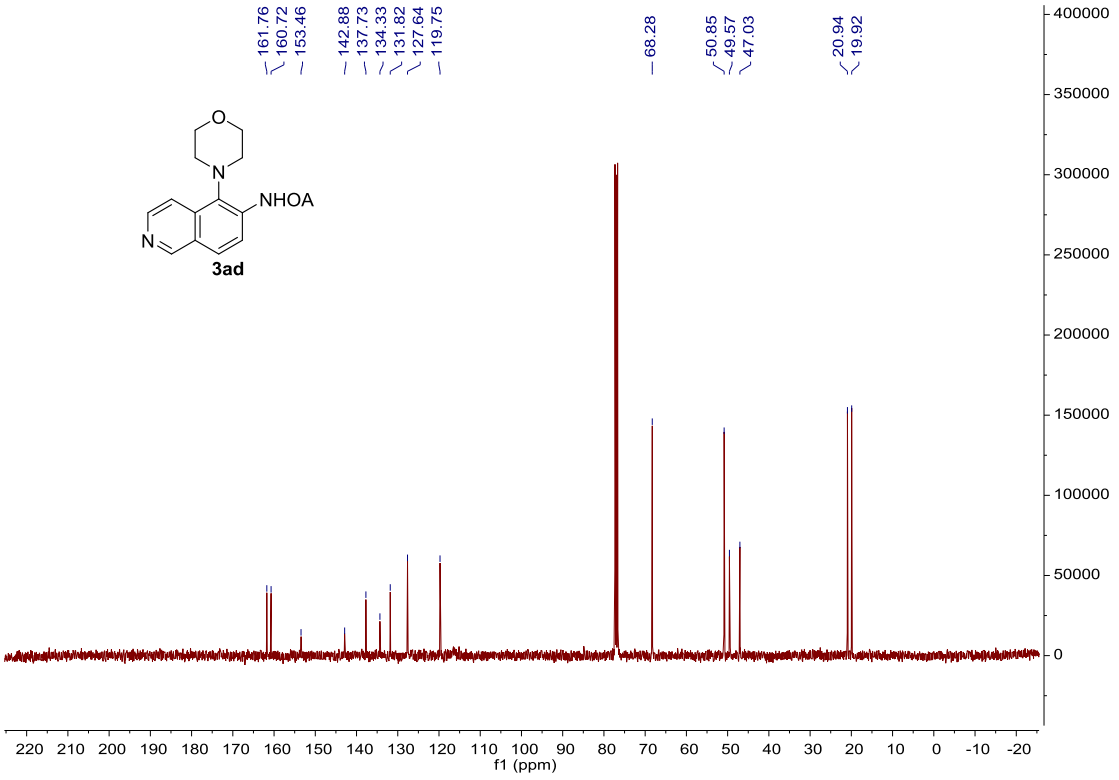
^{13}C NMR (100 MHz) of **3ac** in $CDCl_3$



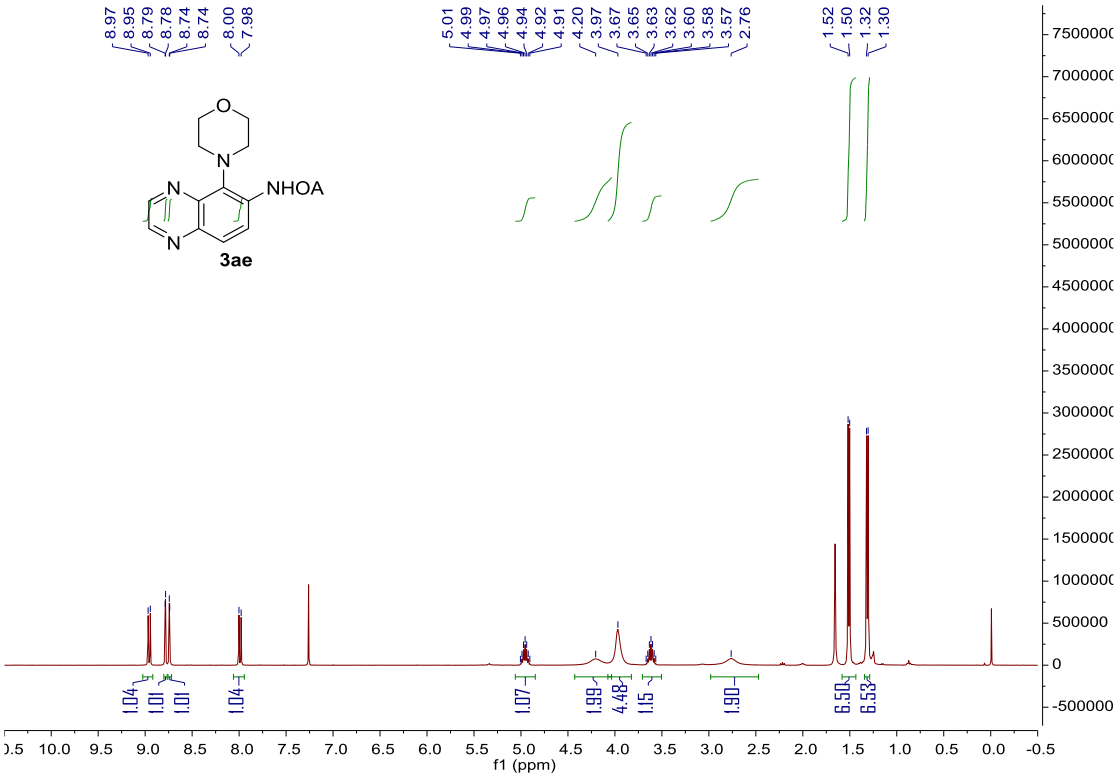
^{1}H NMR (400 MHz) of **3ad** in $CDCl_3$



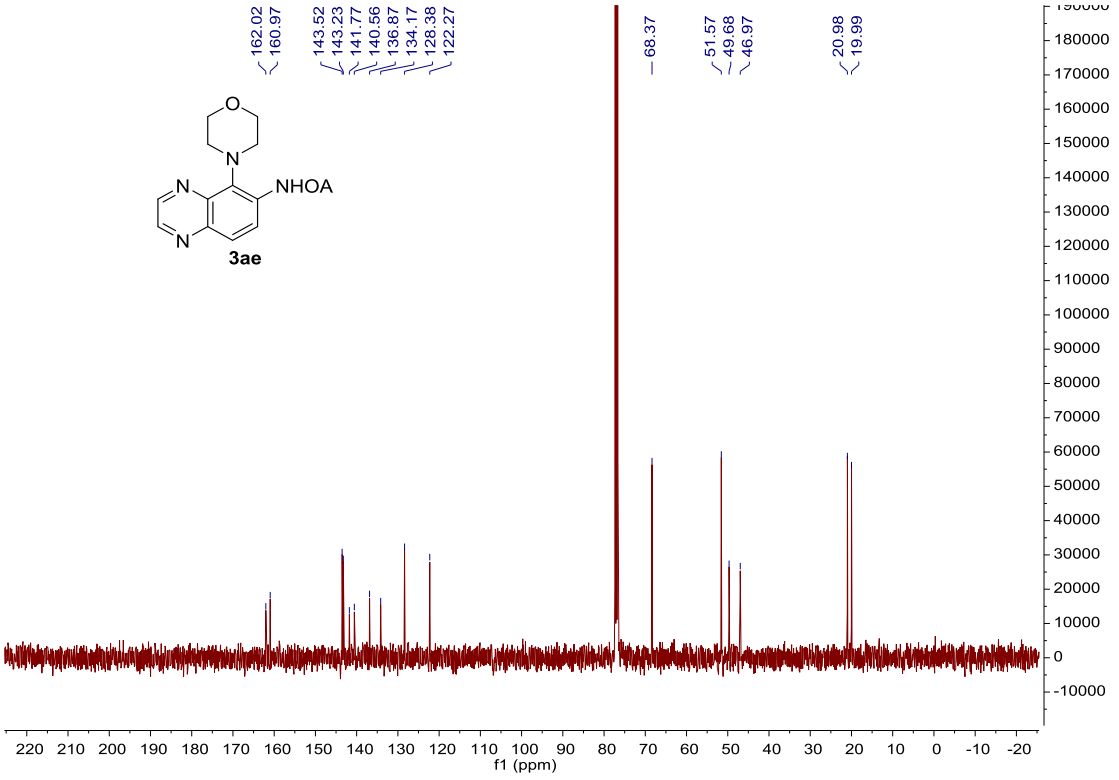
^{13}C NMR (100 MHz) of **3ad** in $CDCl_3$



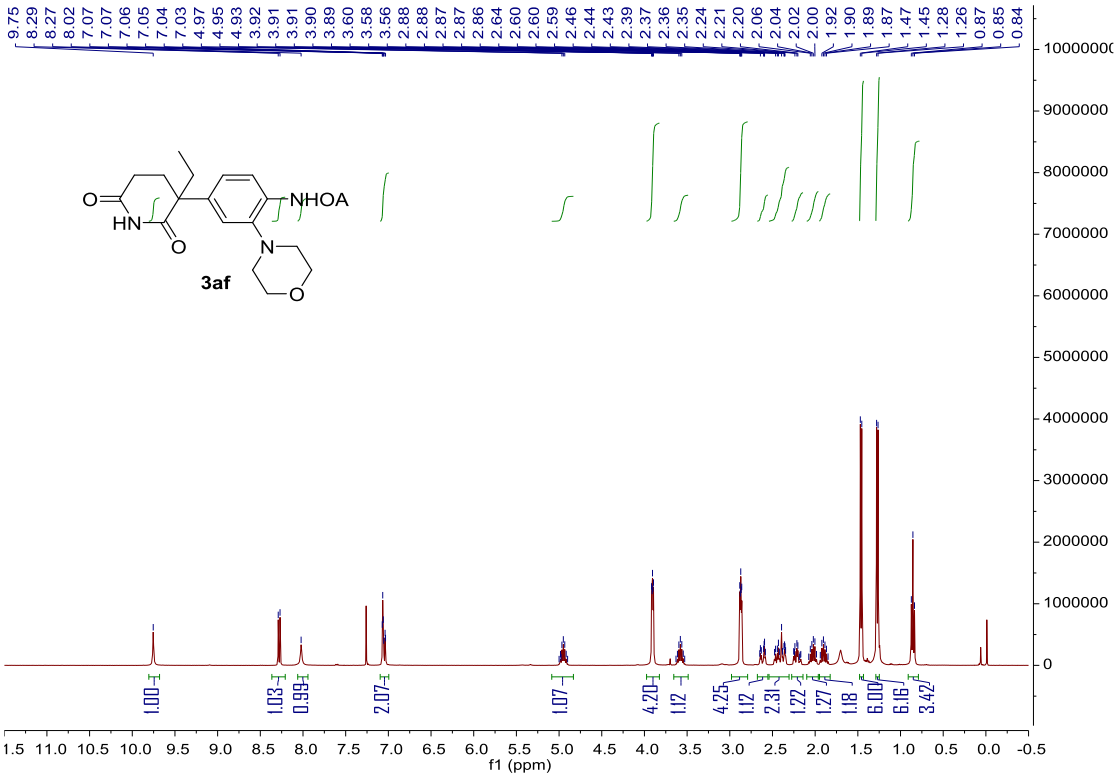
^{1}H NMR (400 MHz) of **3ae** in $CDCl_3$



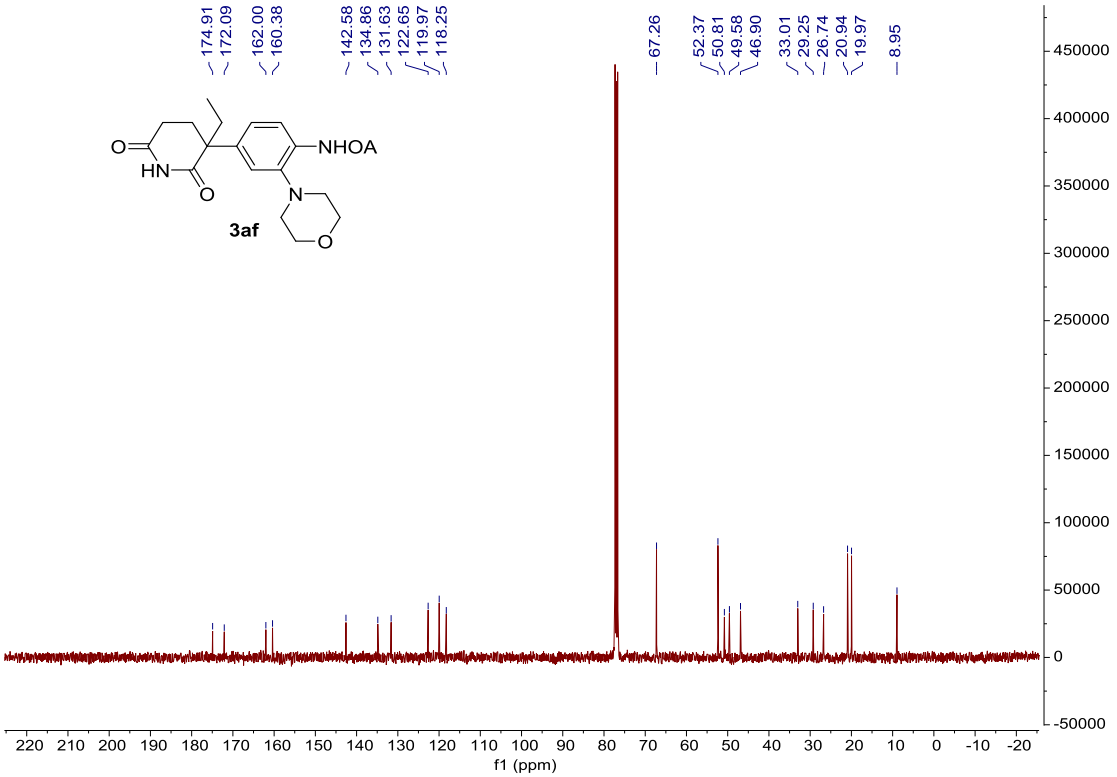
^{13}C NMR (100 MHz) of **3ae** in $CDCl_3$



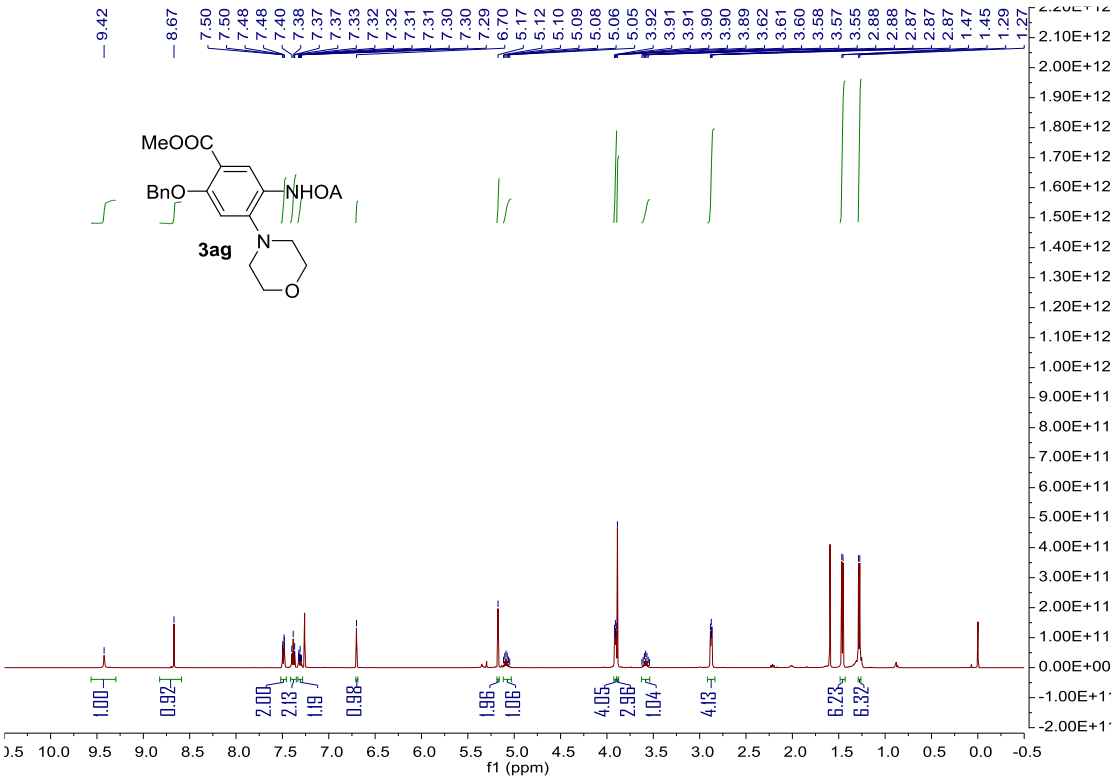
^{1}H NMR (400 MHz) of **3af** in $CDCl_3$



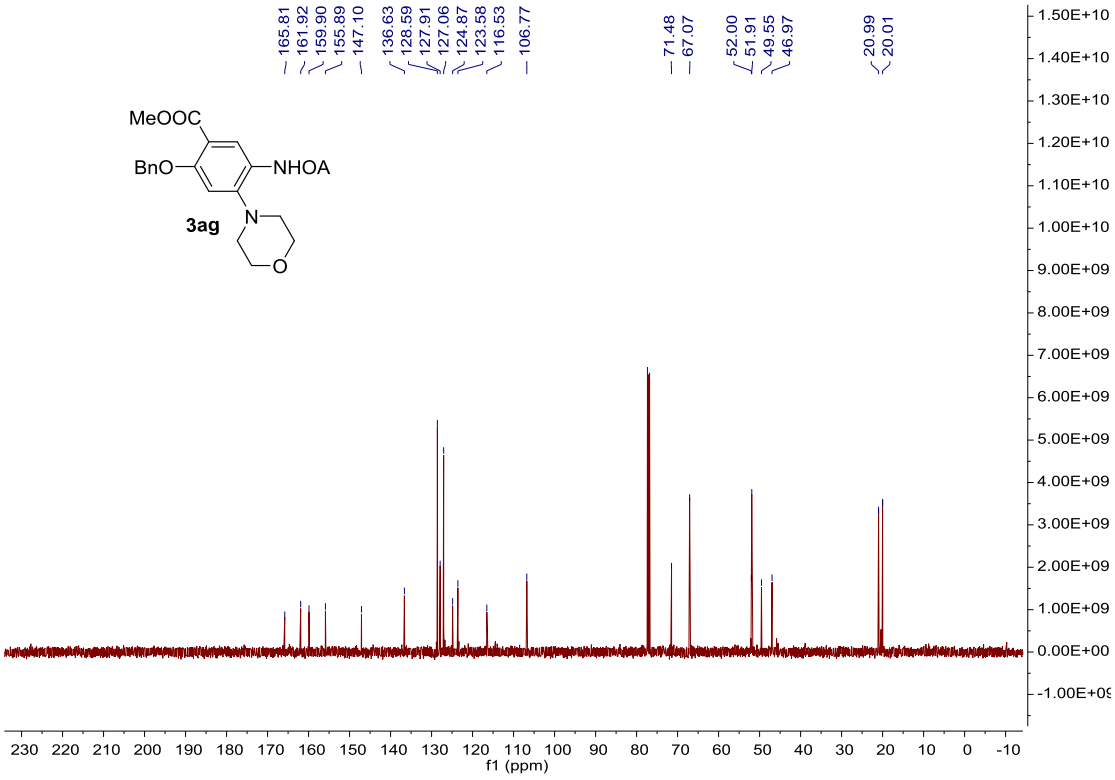
^{13}C NMR (100 MHz) of **3af** in $CDCl_3$



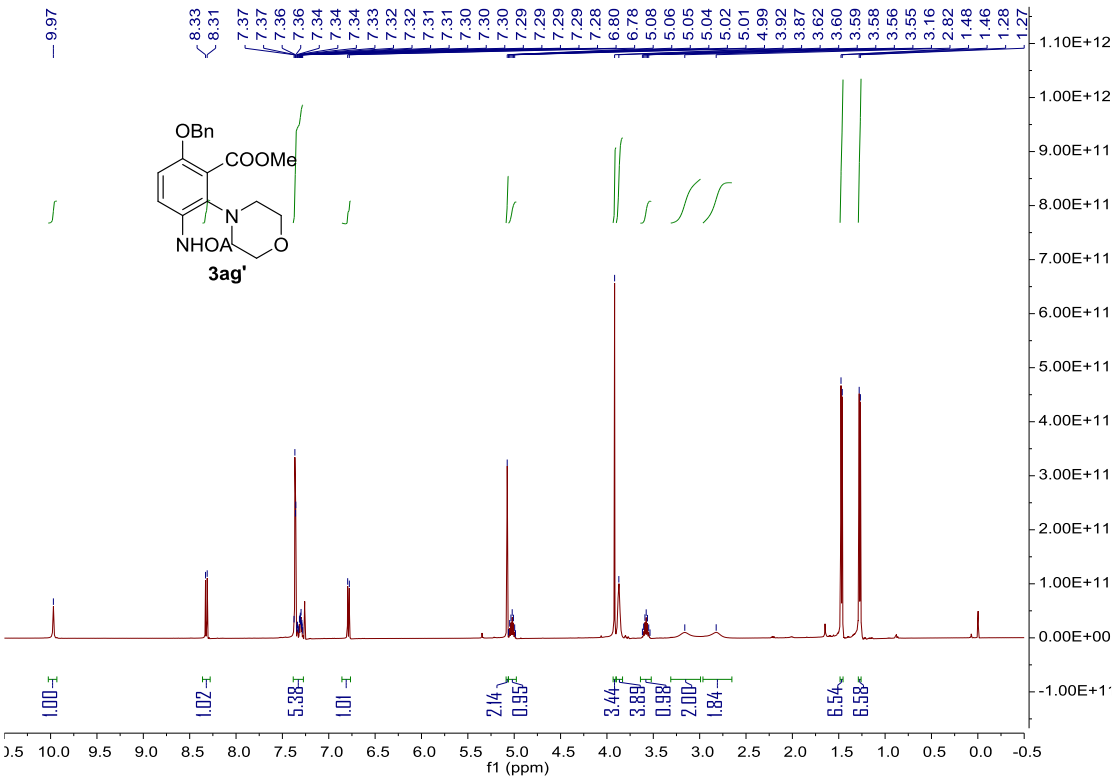
^{1}H NMR (500 MHz) of **3ag** in $CDCl_3$



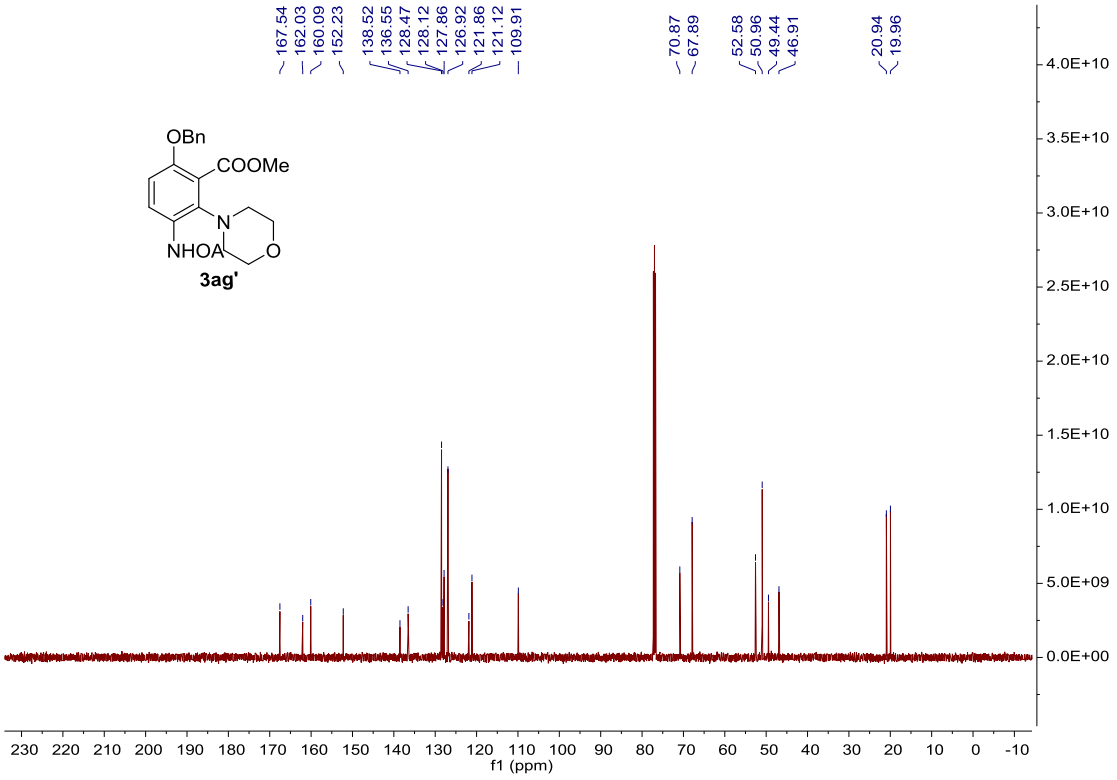
^{13}C NMR (125 MHz) of **3ag** in $CDCl_3$



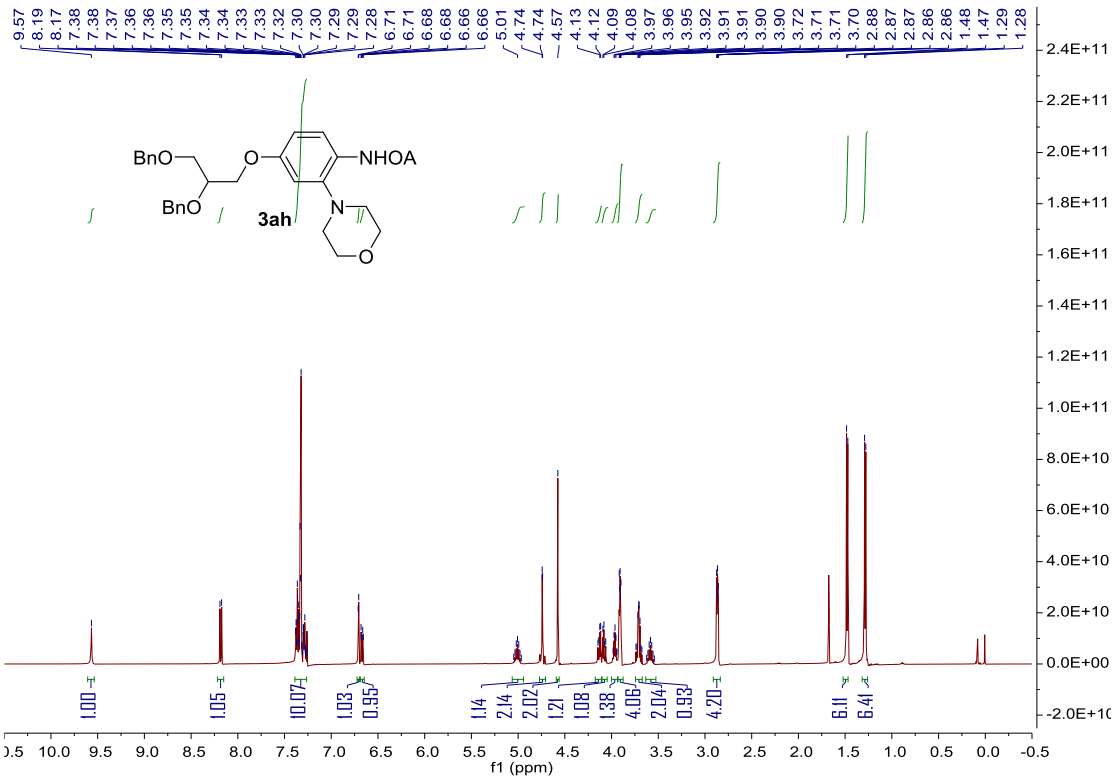
^{1}H NMR (500 MHz) of **3ag'** in $CDCl_3$



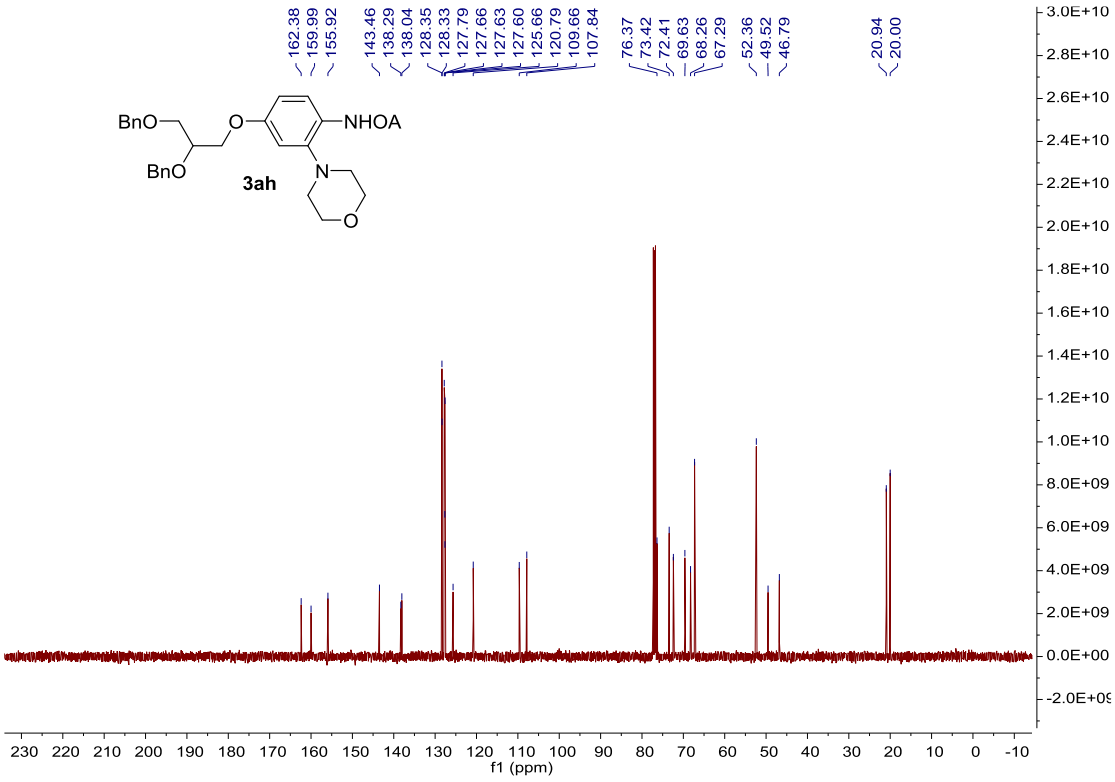
^{13}C NMR (125 MHz) of **3ag'** in $CDCl_3$



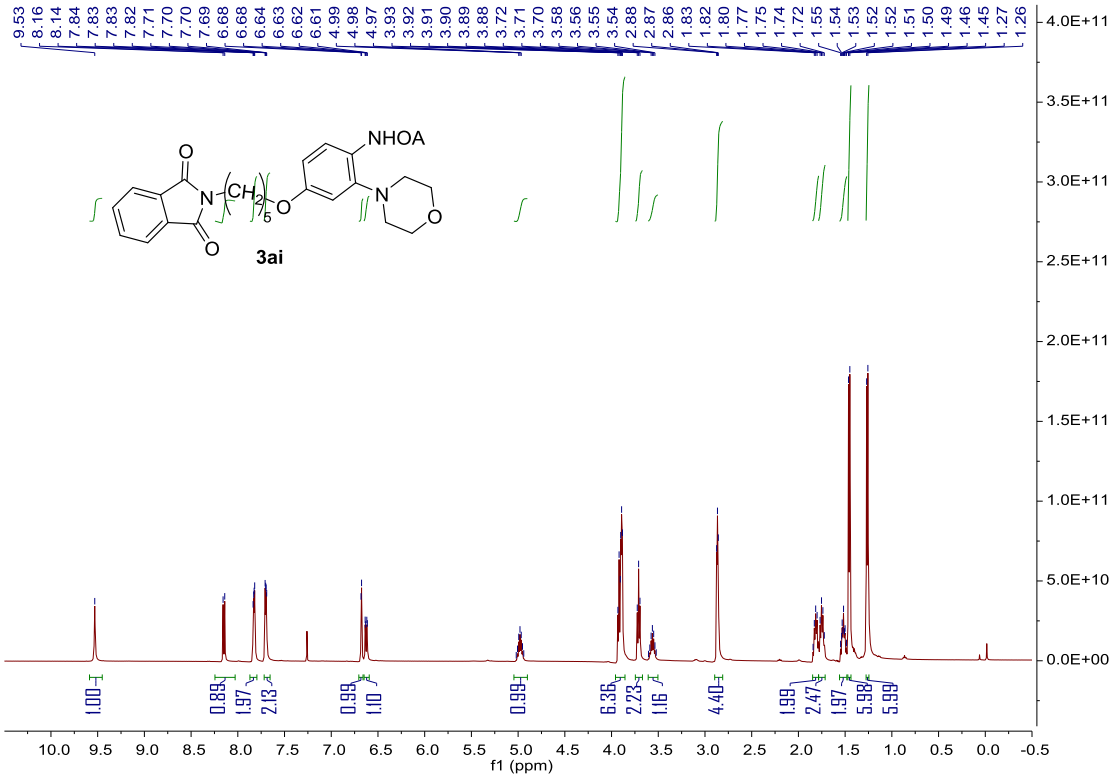
^{1}H NMR (500 MHz) of **3ah** in $CDCl_3$



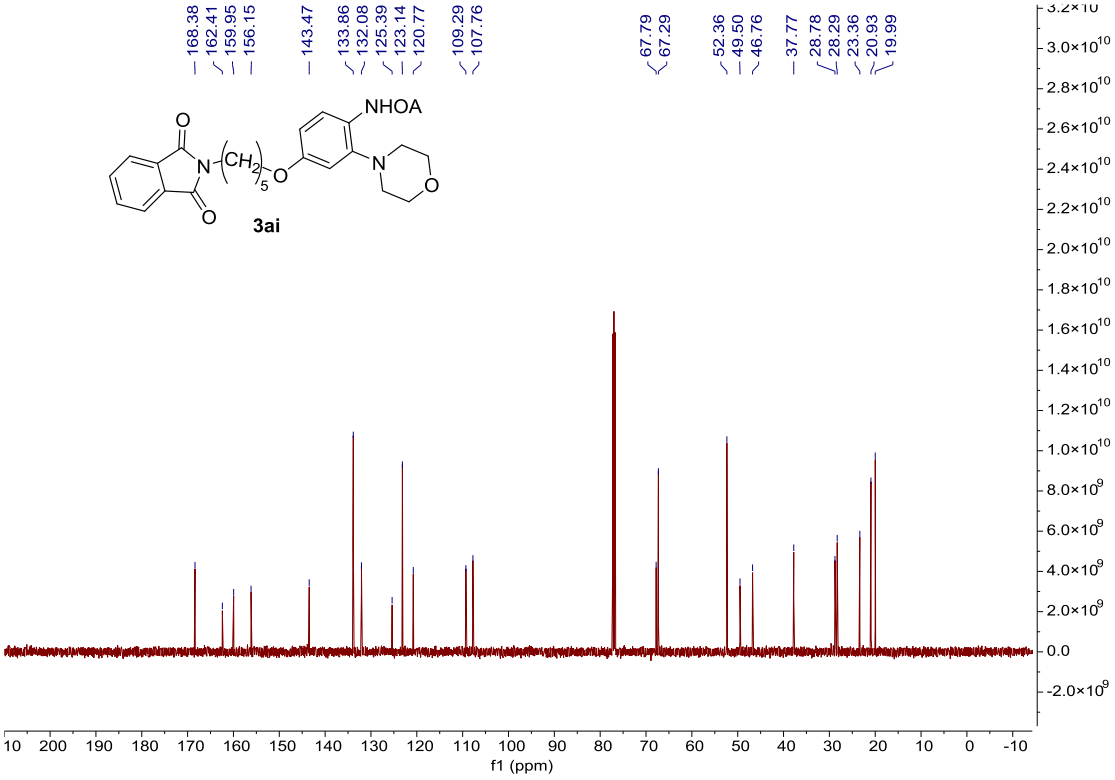
^{13}C NMR (125 MHz) of **3ah** in $CDCl_3$



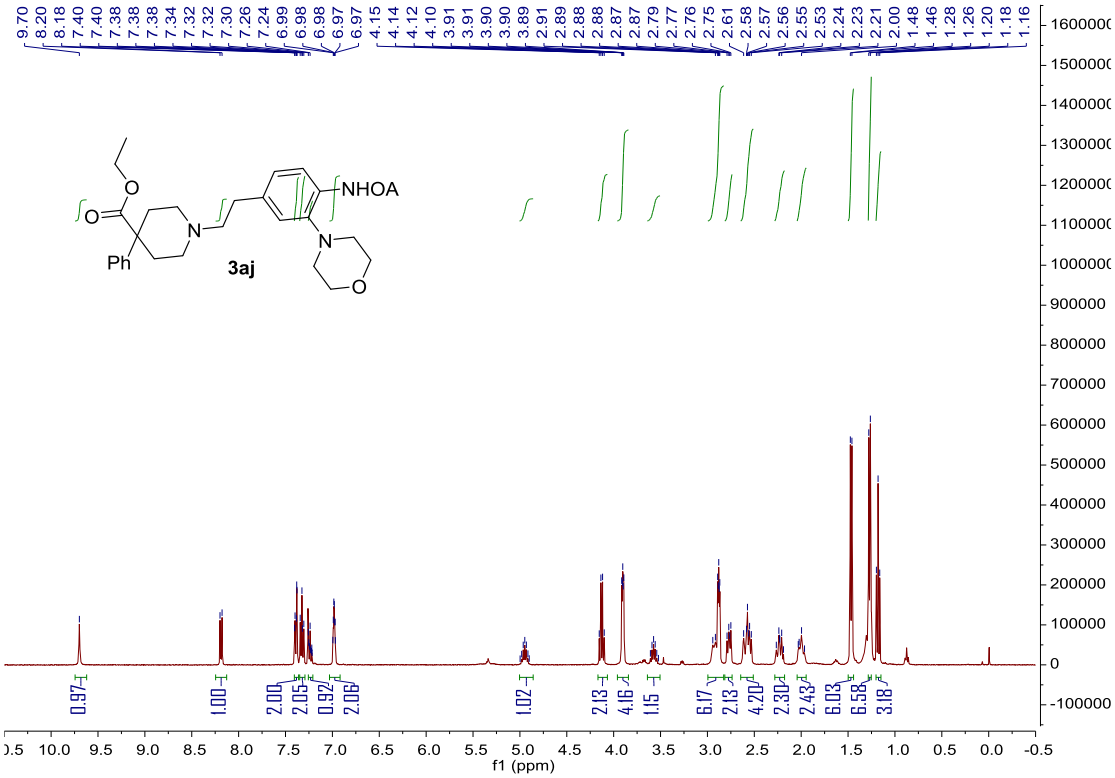
^{1}H NMR (500 MHz) of **3ai** in $CDCl_3$



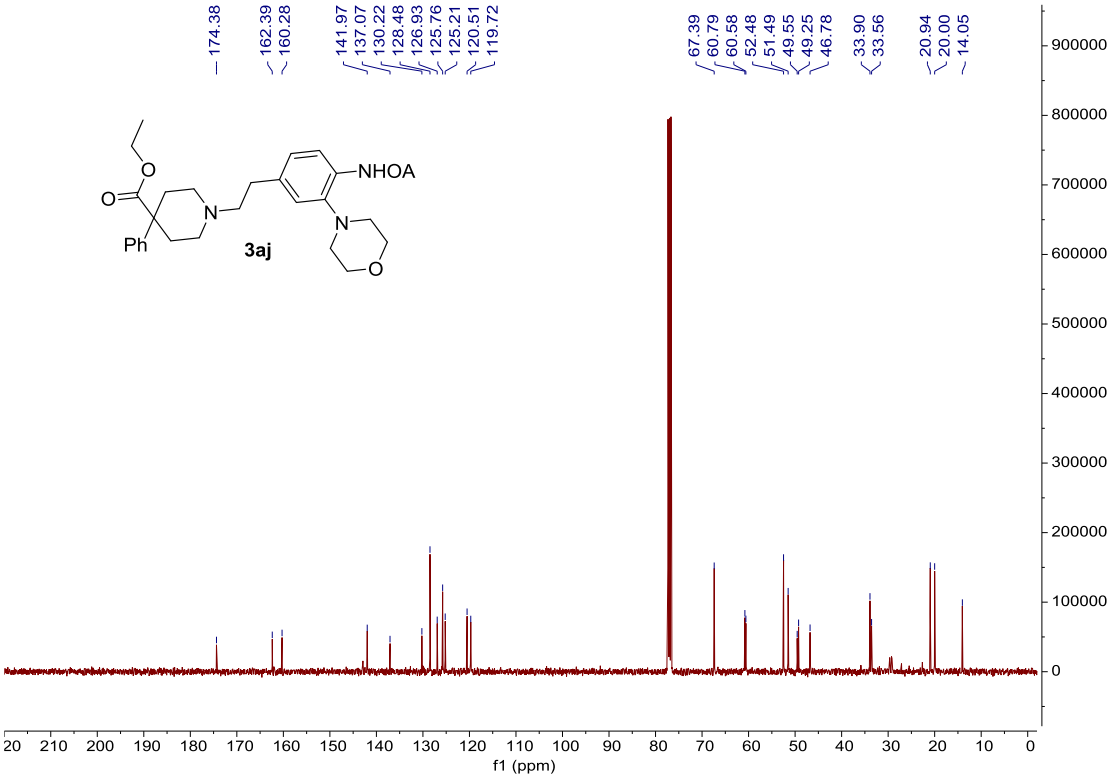
^{13}C NMR (125 MHz) of **3ai** in $CDCl_3$



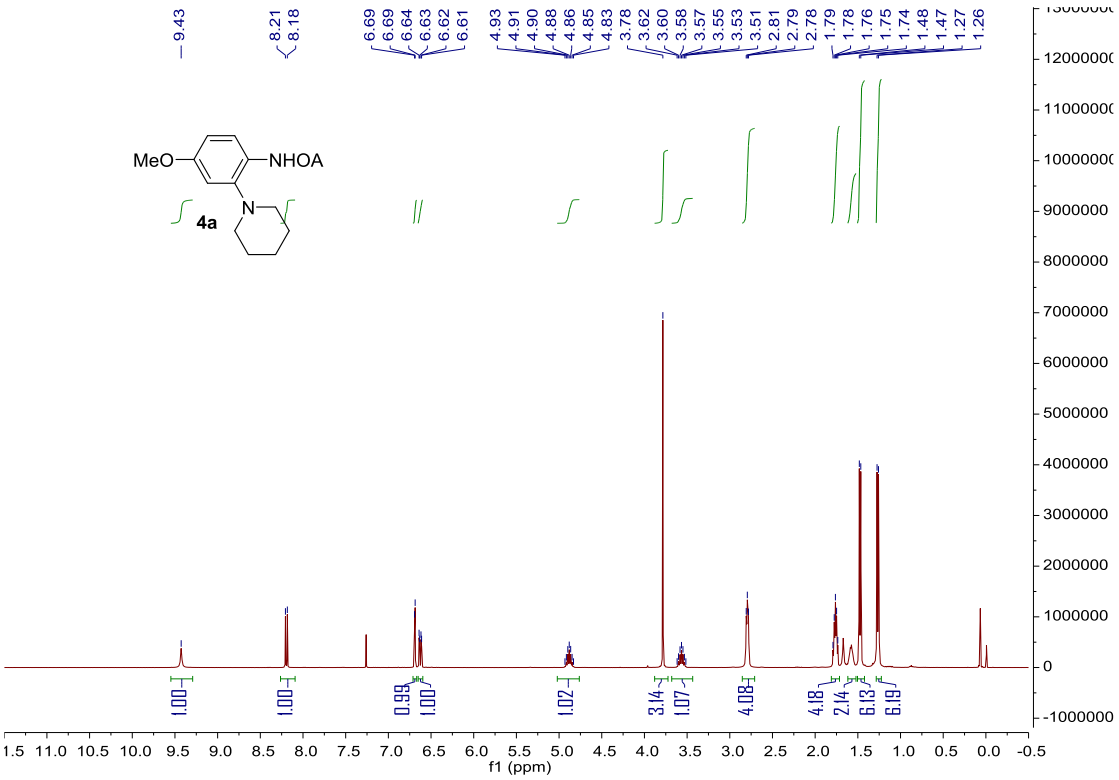
^{1}H NMR (500 MHz) of **3aj** in $CDCl_3$



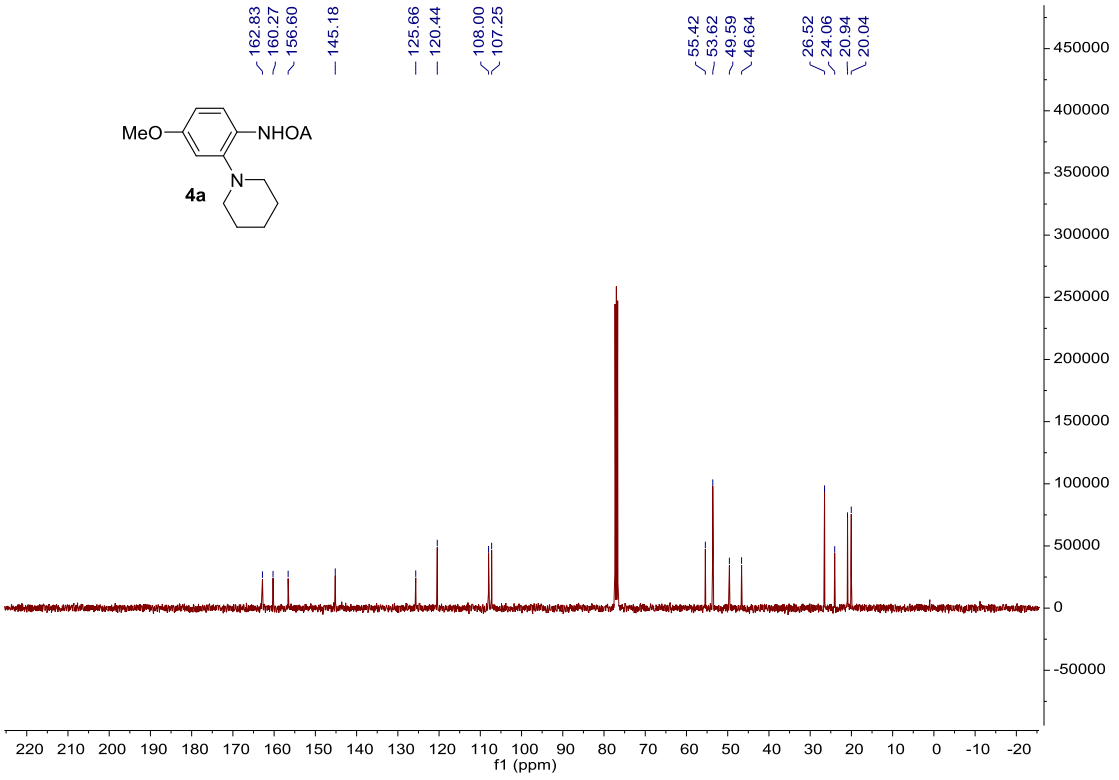
^{13}C NMR (125 MHz) of **3aj** in $CDCl_3$



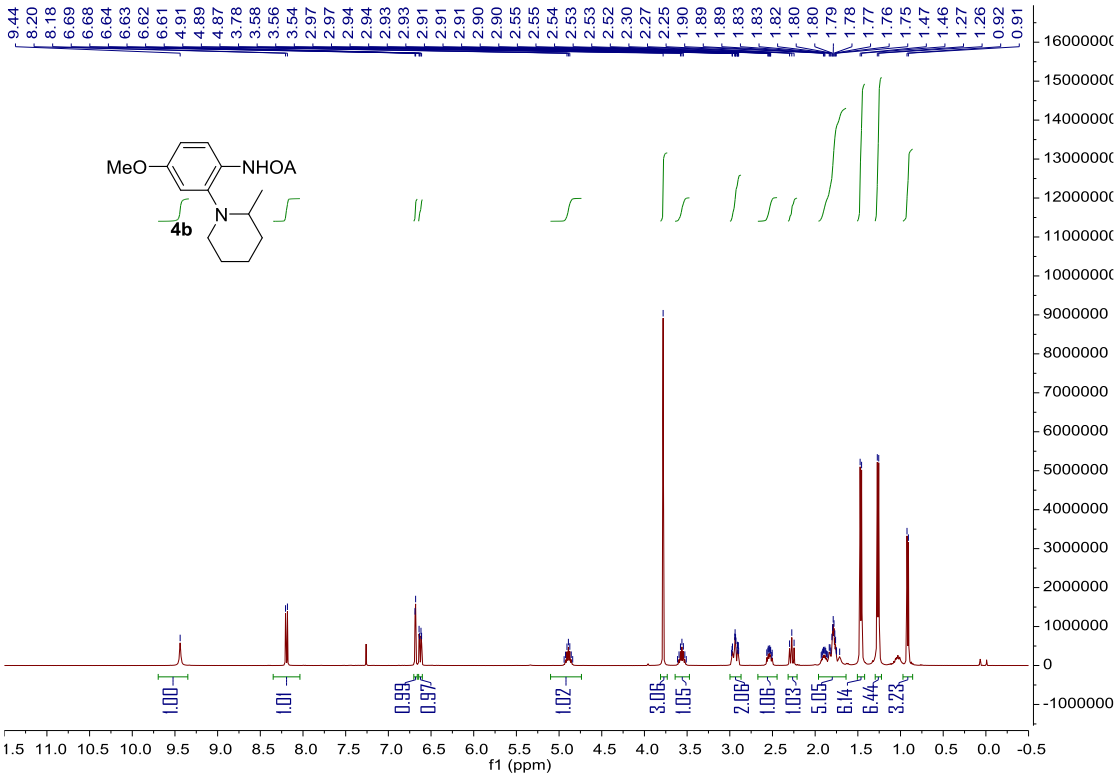
^{1}H NMR (400 MHz) of **4a** in $CDCl_3$



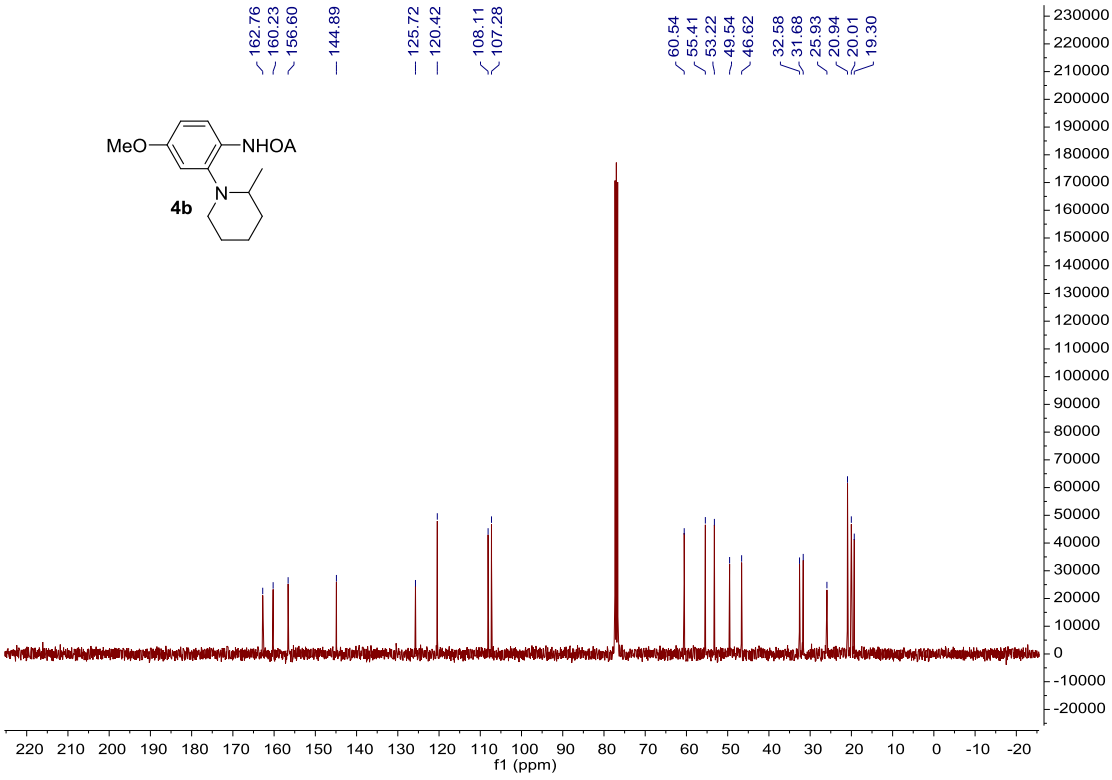
^{13}C NMR (100 MHz) of **4a** in $CDCl_3$



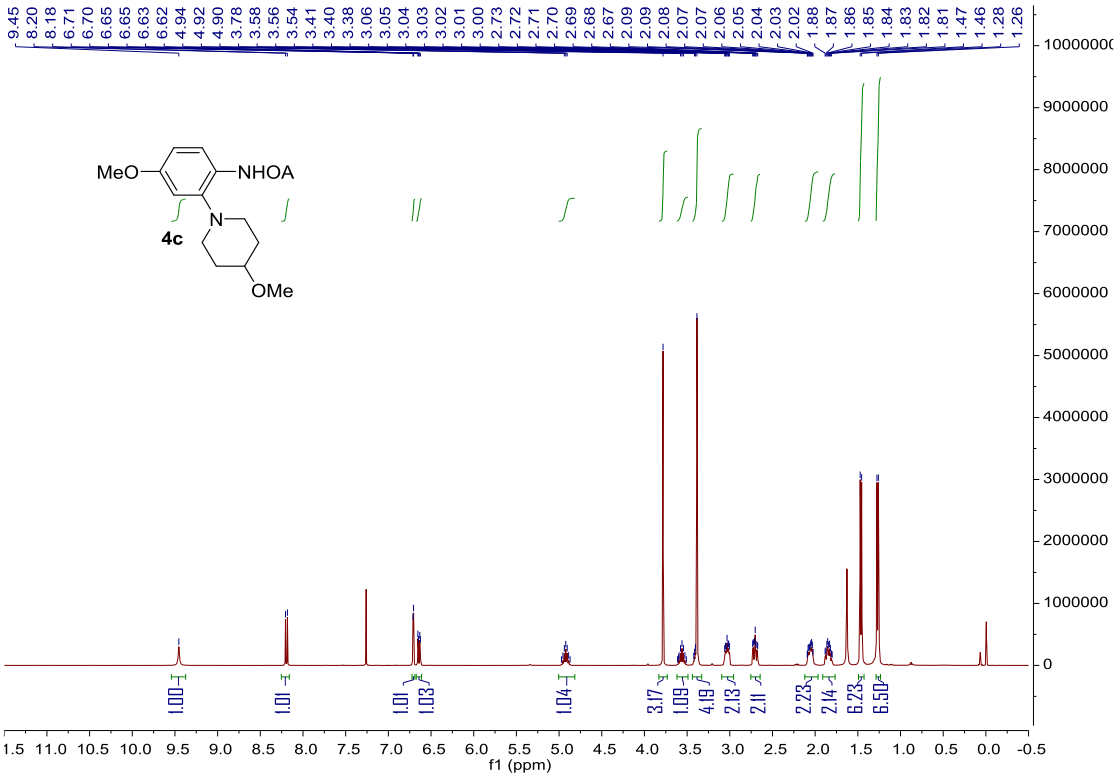
^{1}H NMR (400 MHz) of **4b** in $CDCl_3$



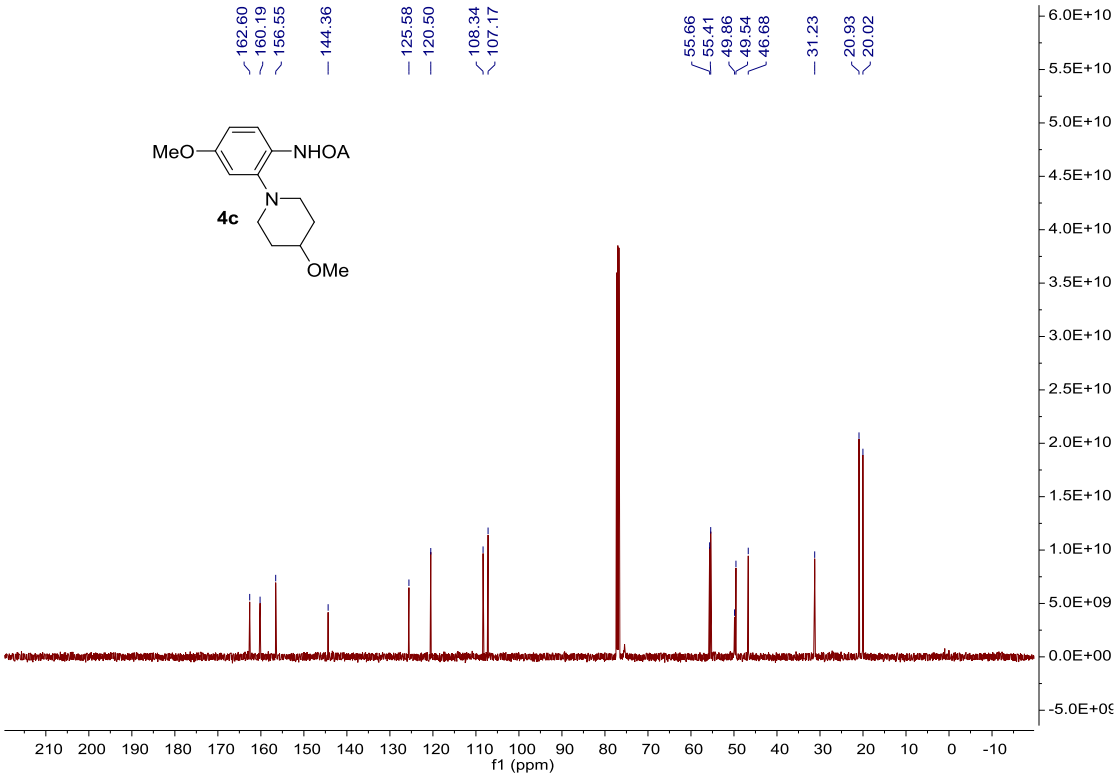
^{13}C NMR (100 MHz) of **4b** in $CDCl_3$



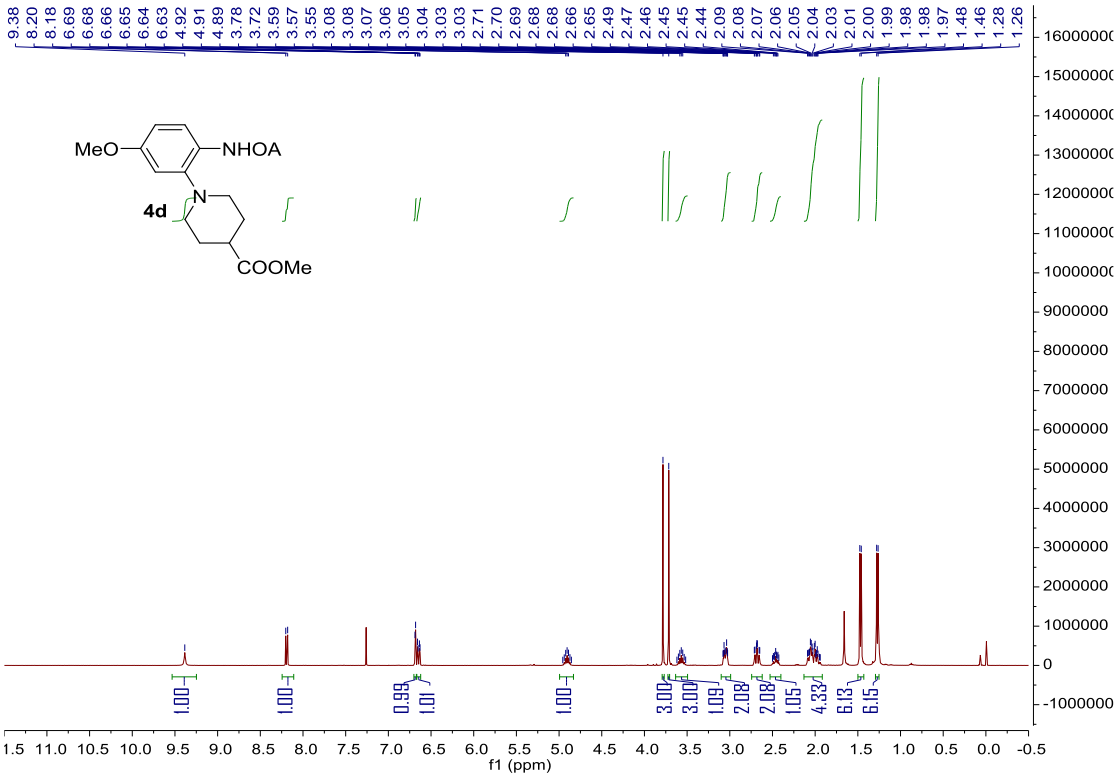
^{1}H NMR (400 MHz) of **4c** in $CDCl_3$



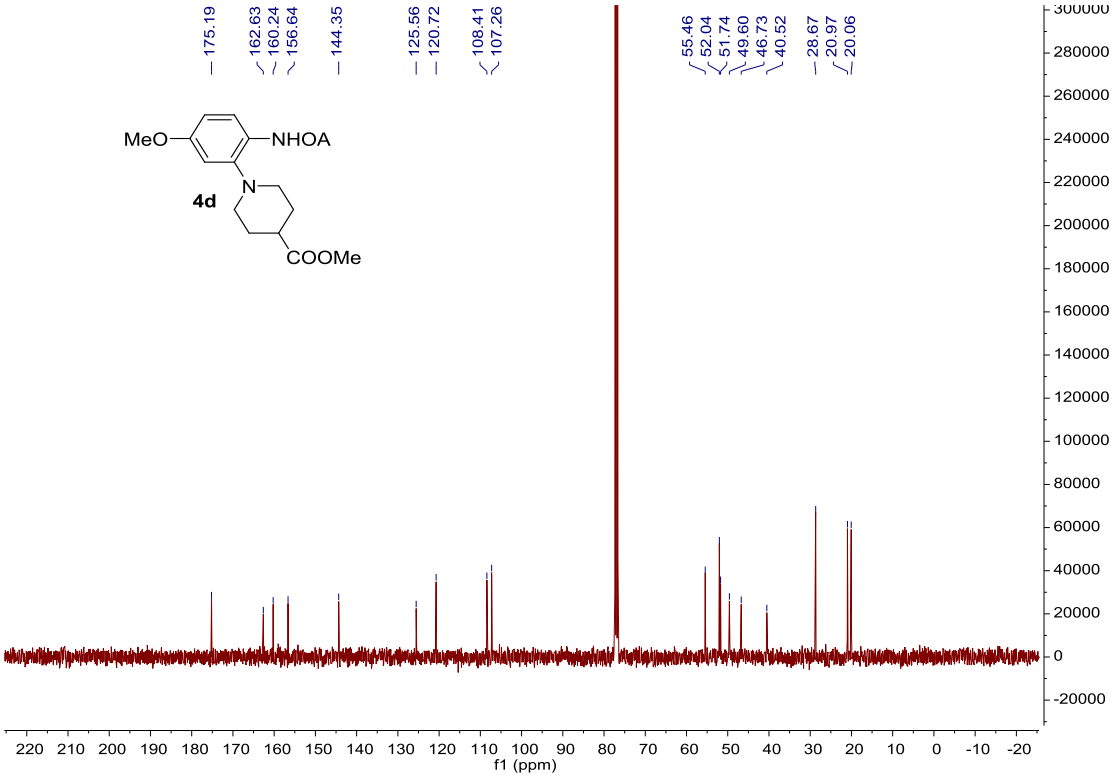
^{13}C NMR (125 MHz) of **4c** in $CDCl_3$



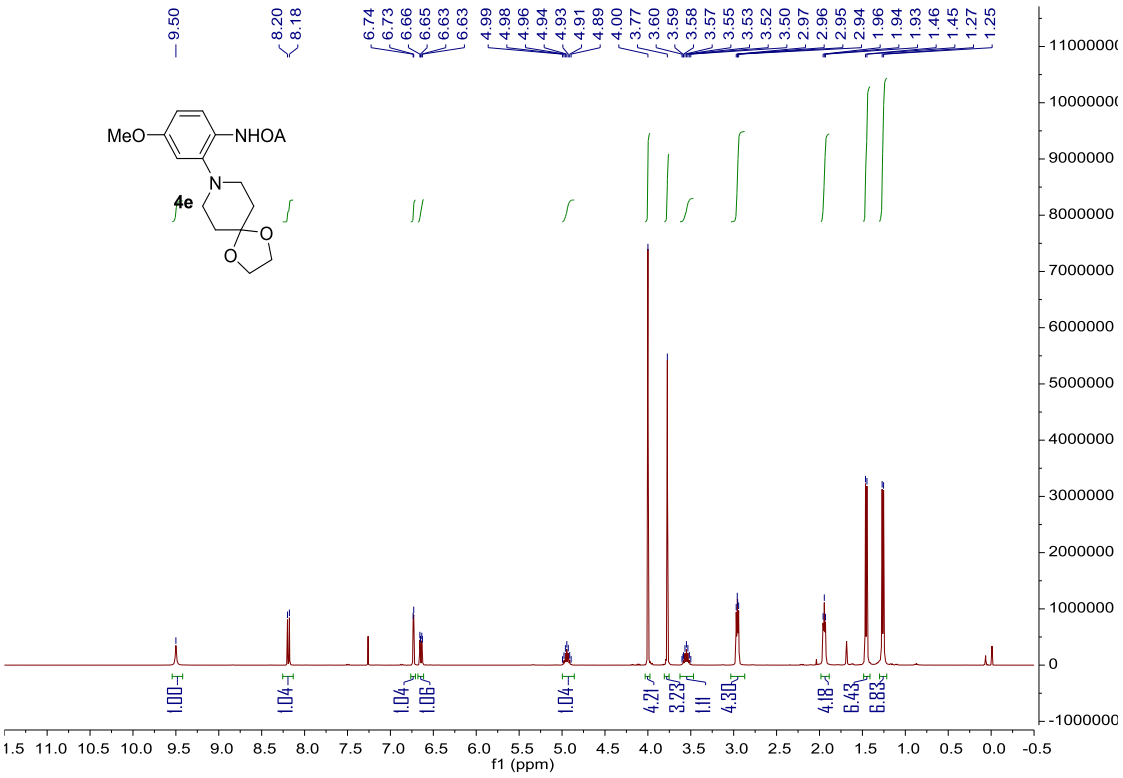
^{1}H NMR (400 MHz) of **4d** in $CDCl_3$



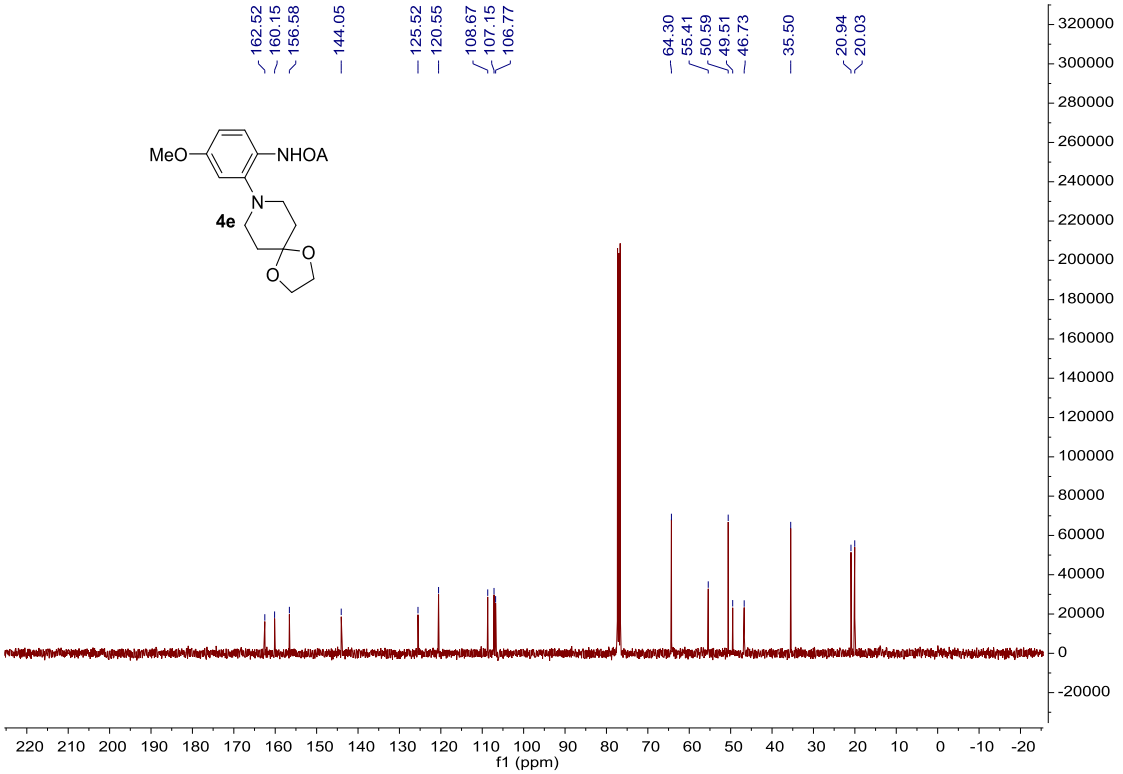
^{13}C NMR (100 MHz) of **4d** in $CDCl_3$



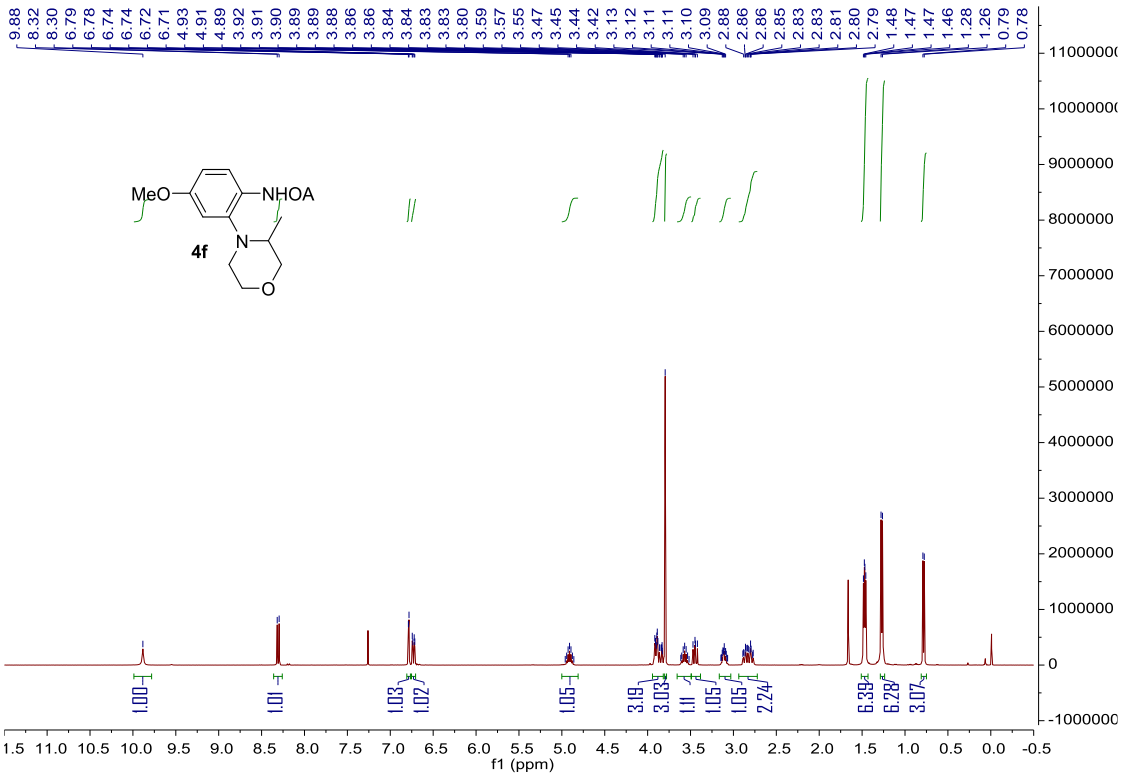
^{1}H NMR (400 MHz) of **4e** in $CDCl_3$



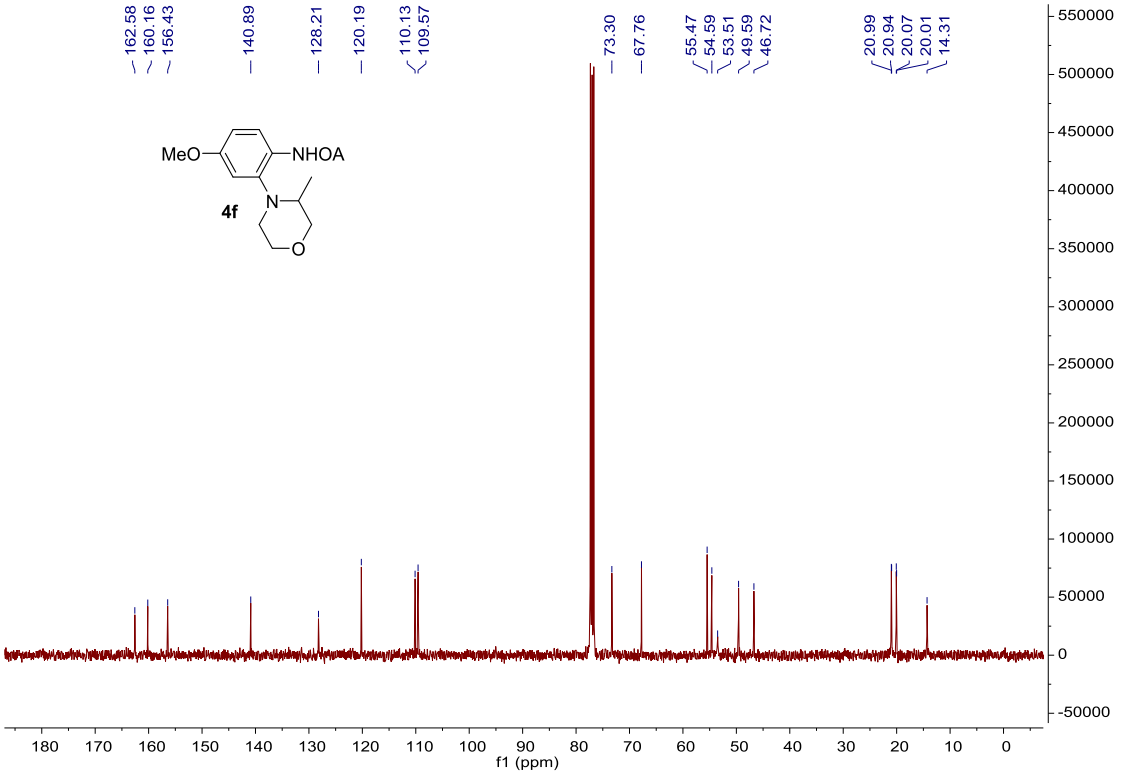
^{13}C NMR (100 MHz) of **4e** in $CDCl_3$



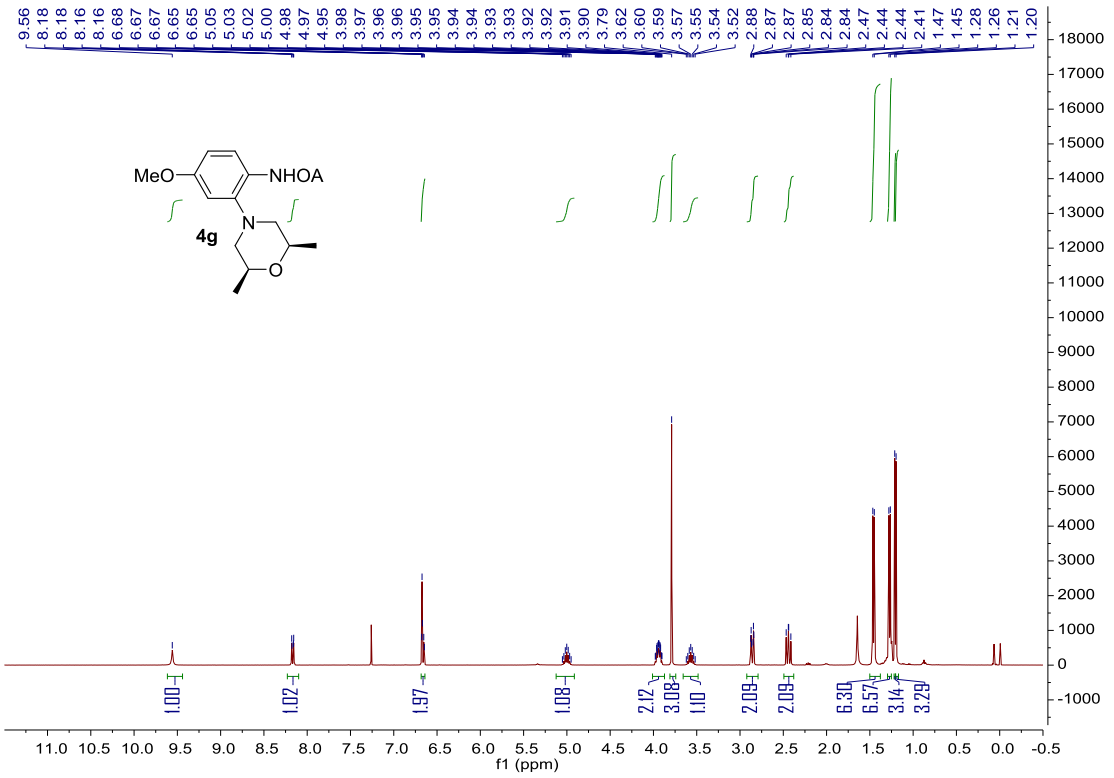
^{1}H NMR (400 MHz) of **4f** in $CDCl_3$



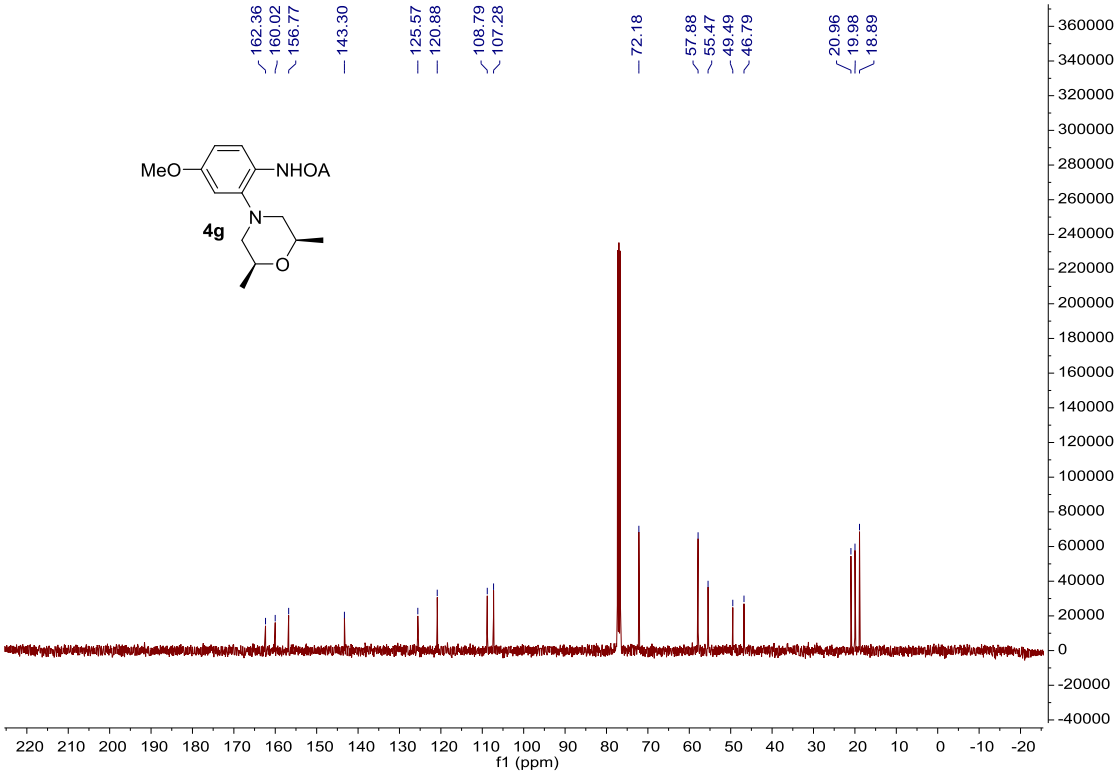
^{13}C NMR (100 MHz) of **4f** in $CDCl_3$



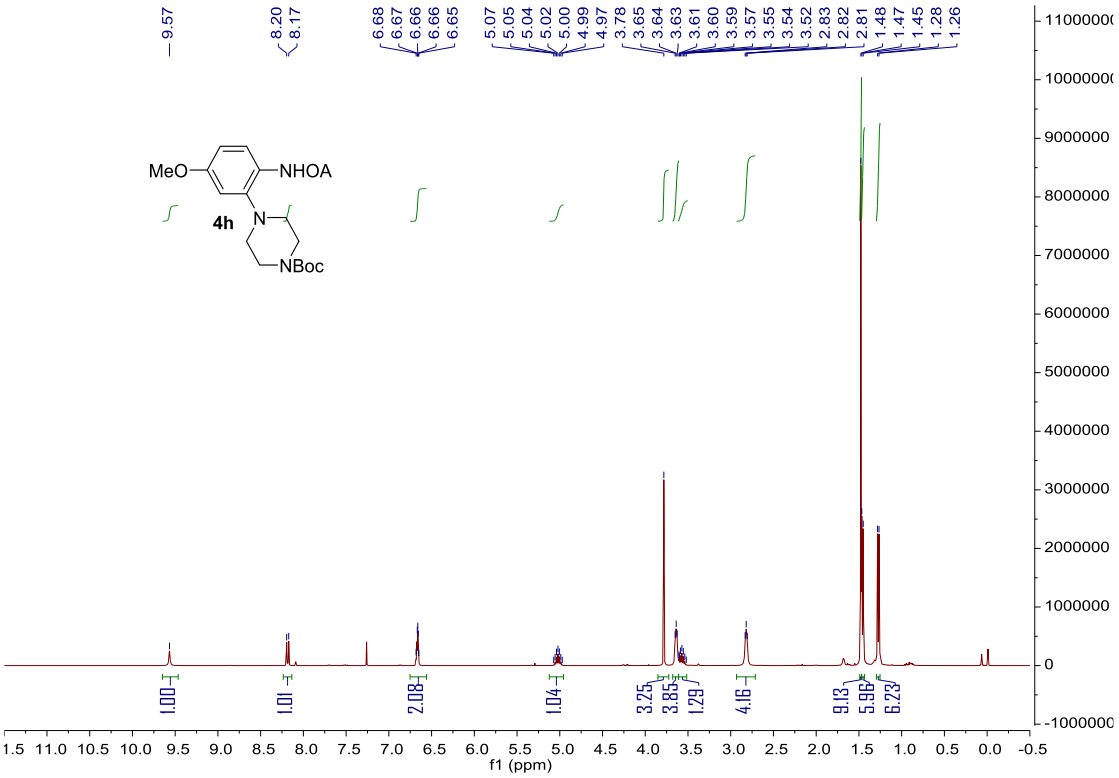
^{1}H NMR (400 MHz) of **4g** in $CDCl_3$



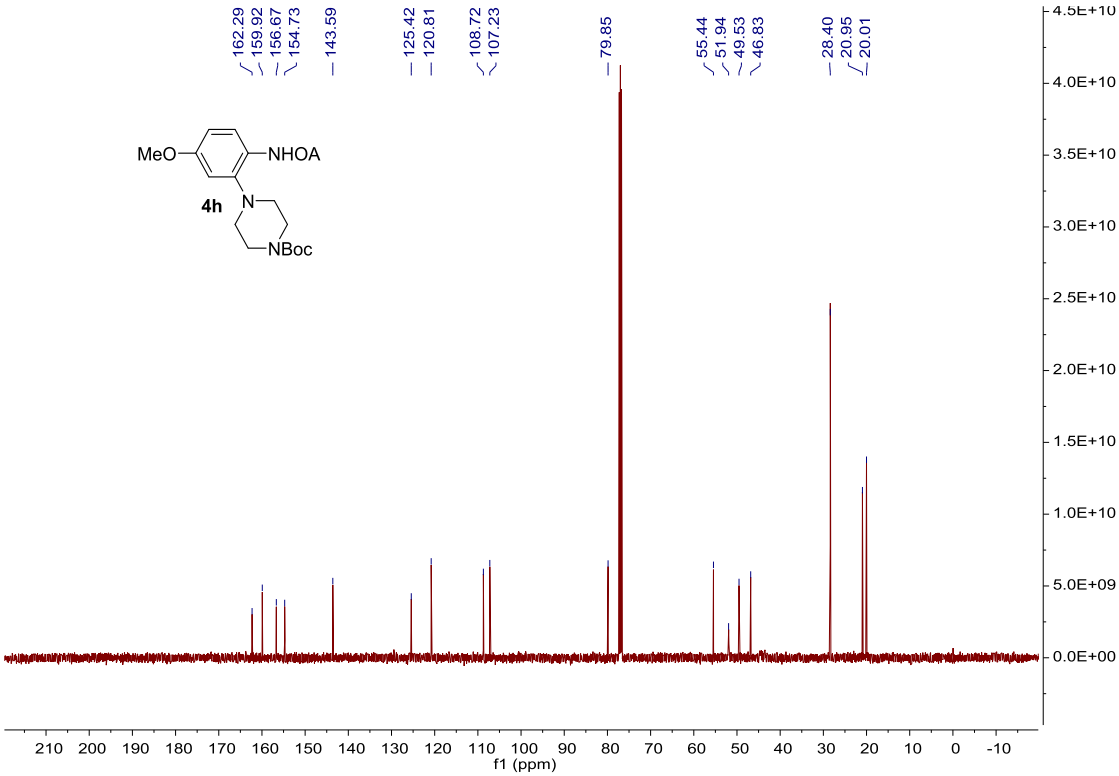
^{13}C NMR (100 MHz) of **4g** in $CDCl_3$



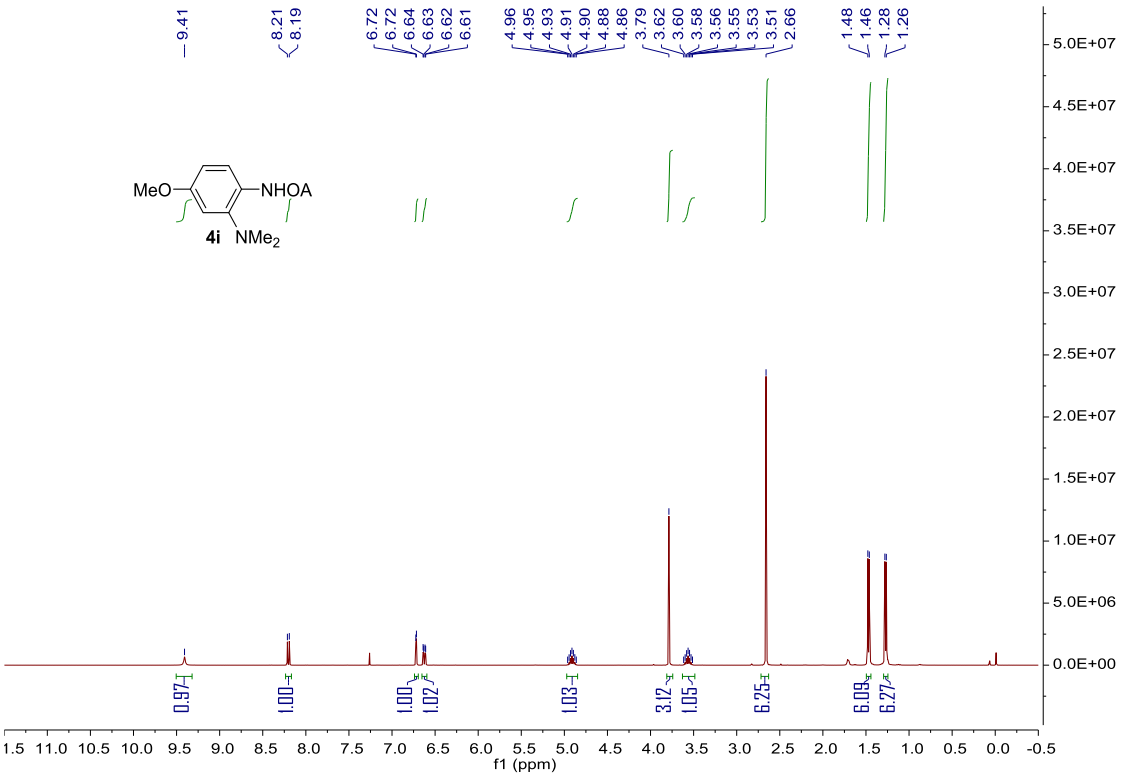
^{1}H NMR (400 MHz) of **4h** in $CDCl_3$



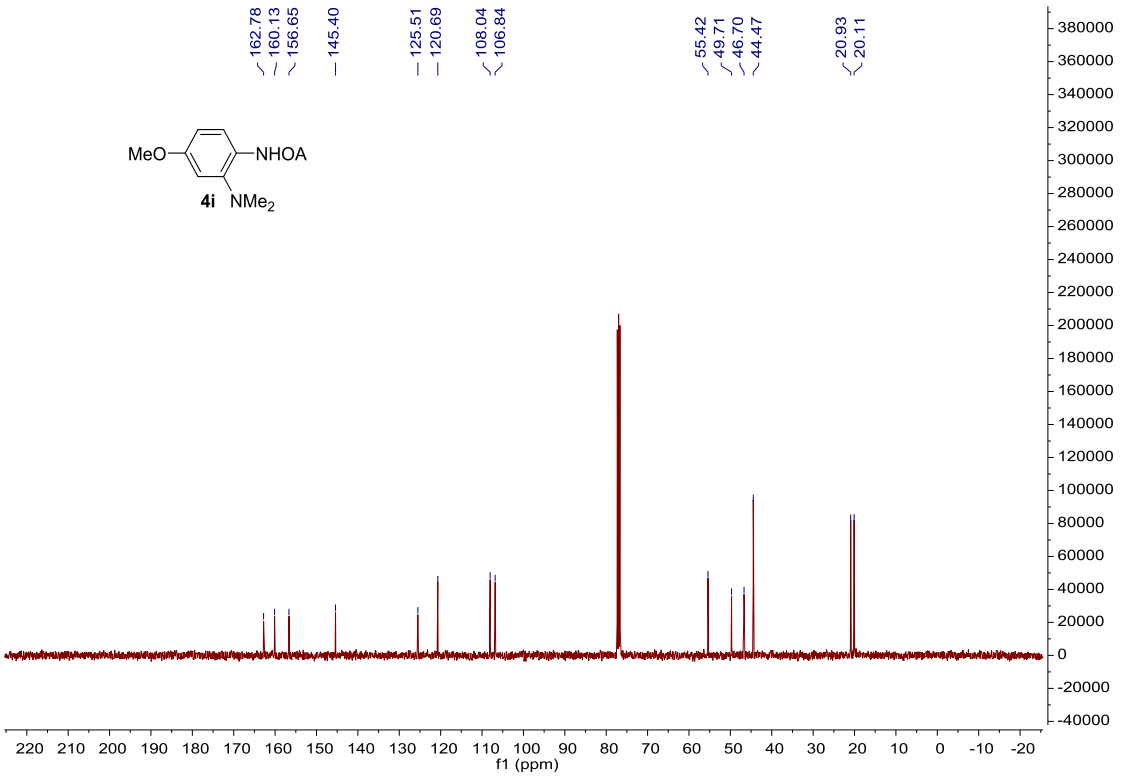
^{13}C NMR (125 MHz) of **4h** in $CDCl_3$



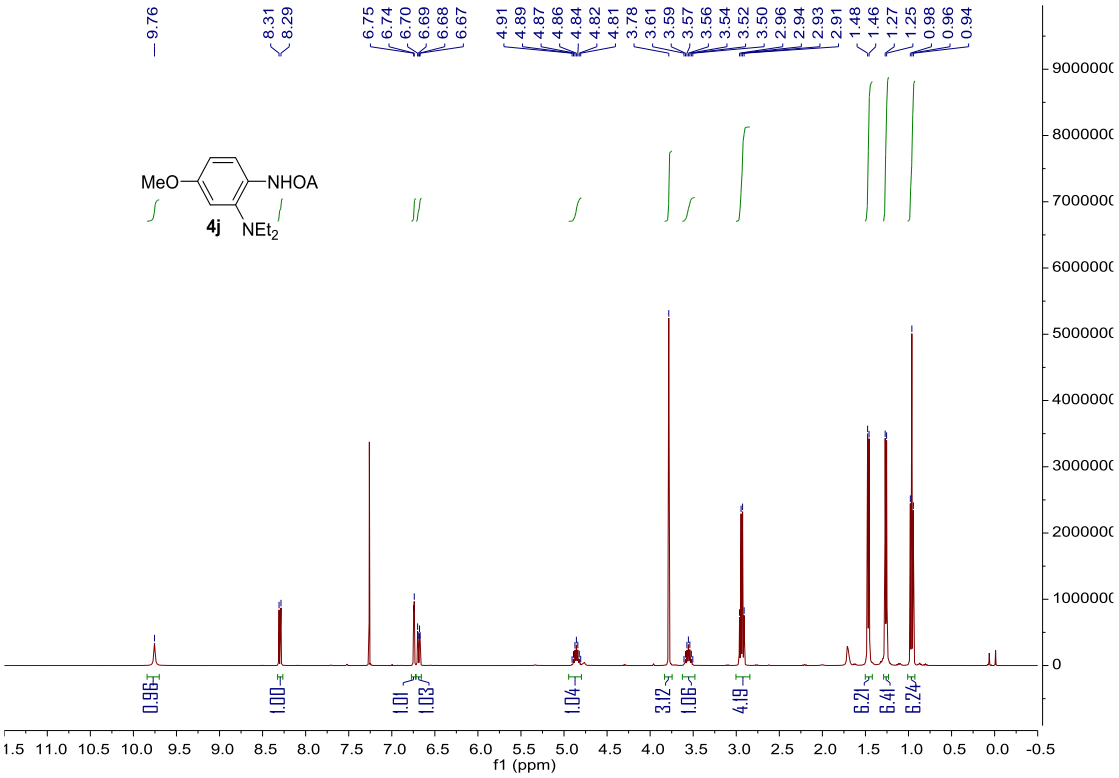
^{1}H NMR (400 MHz) of **4i** in $CDCl_3$



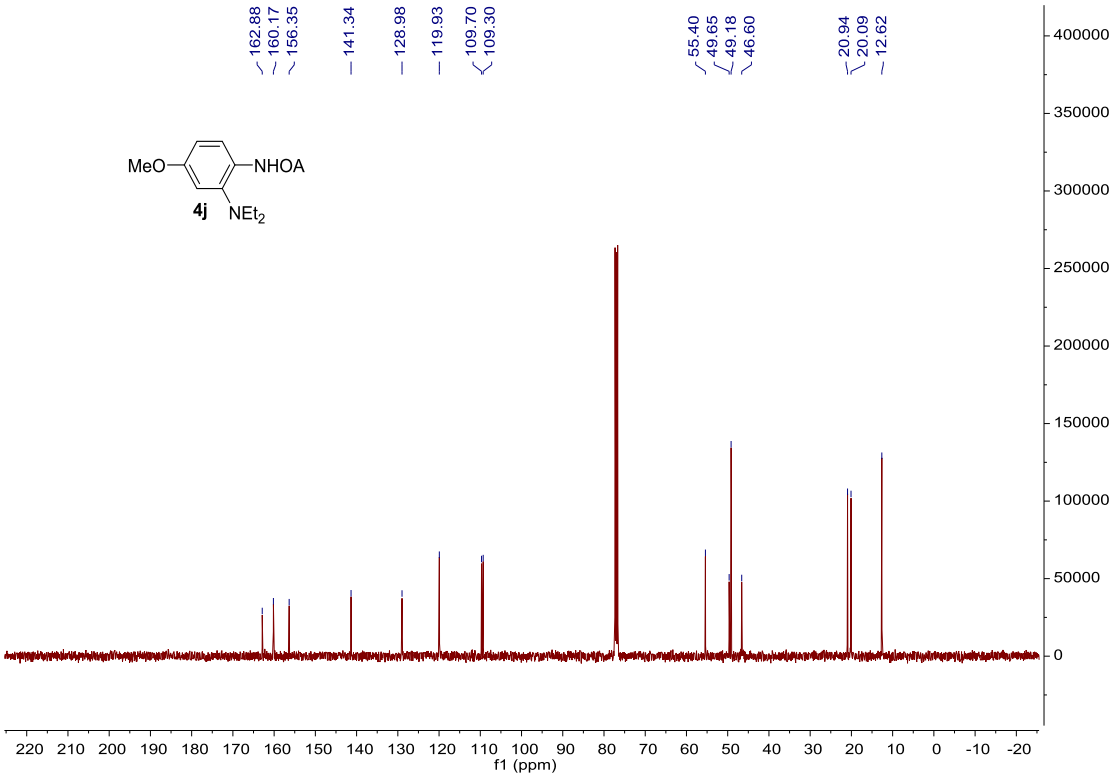
^{13}C NMR (100 MHz) of **4i** in $CDCl_3$



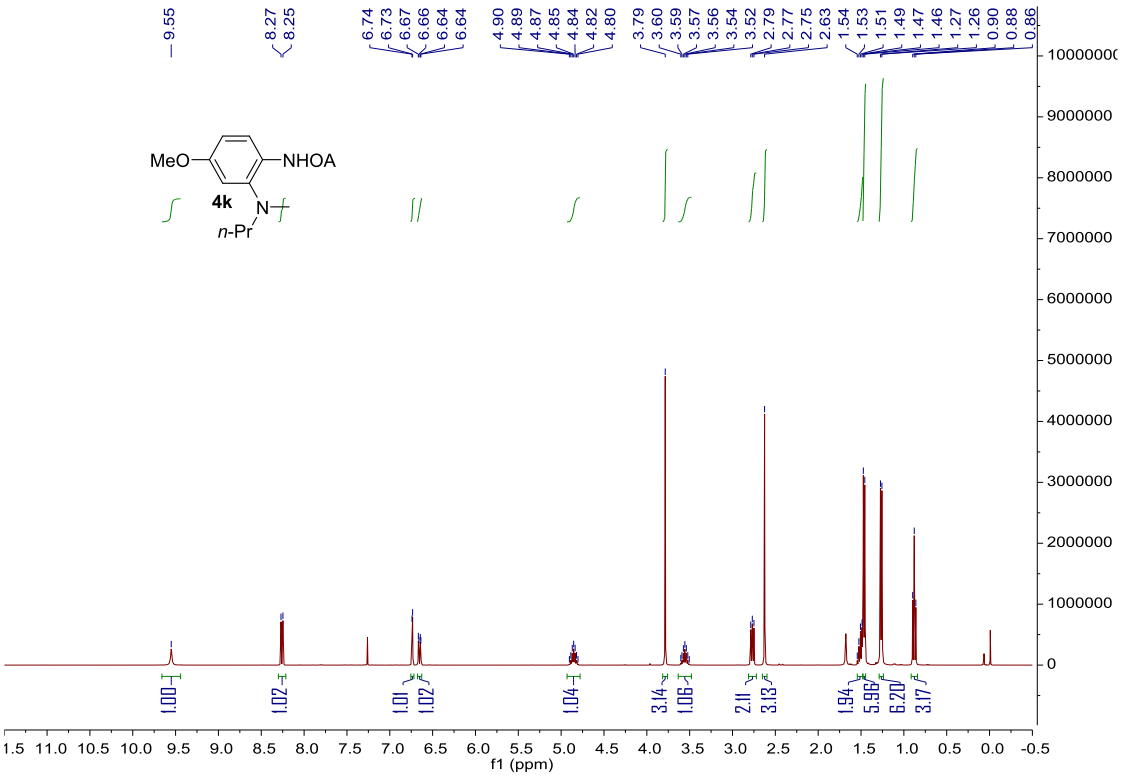
^{1}H NMR (400 MHz) of **4j** in $CDCl_3$



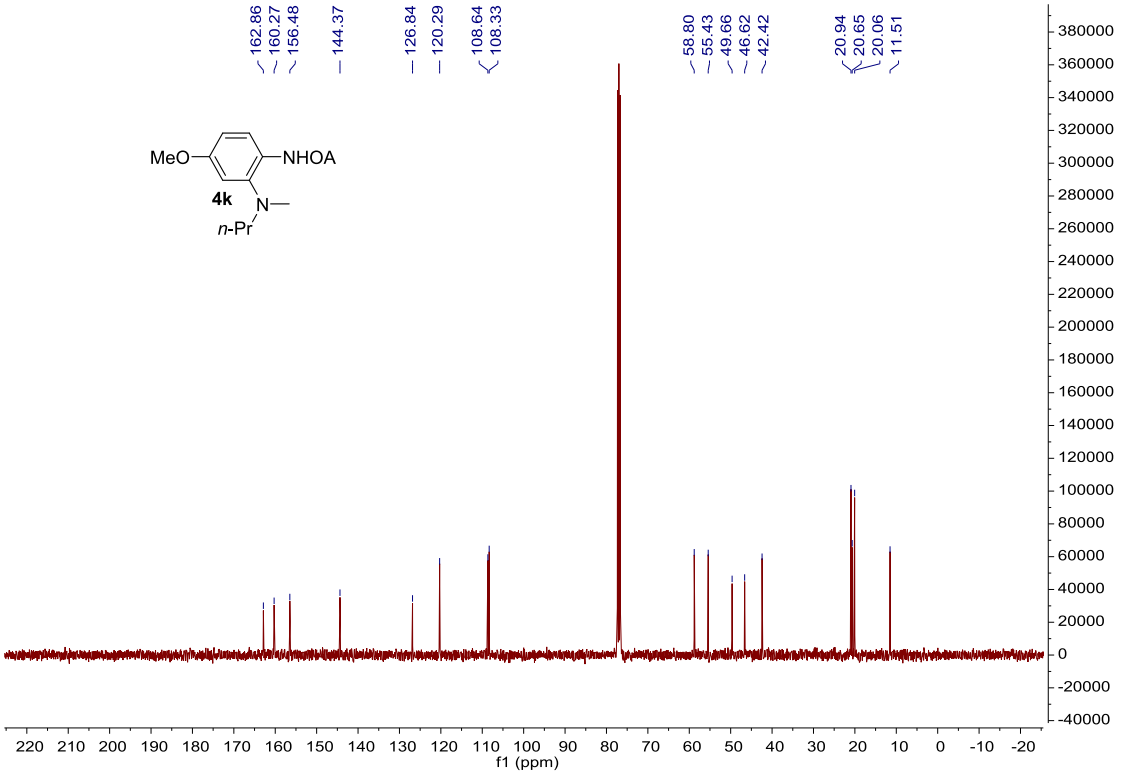
^{13}C NMR (100 MHz) of **4j** in $CDCl_3$



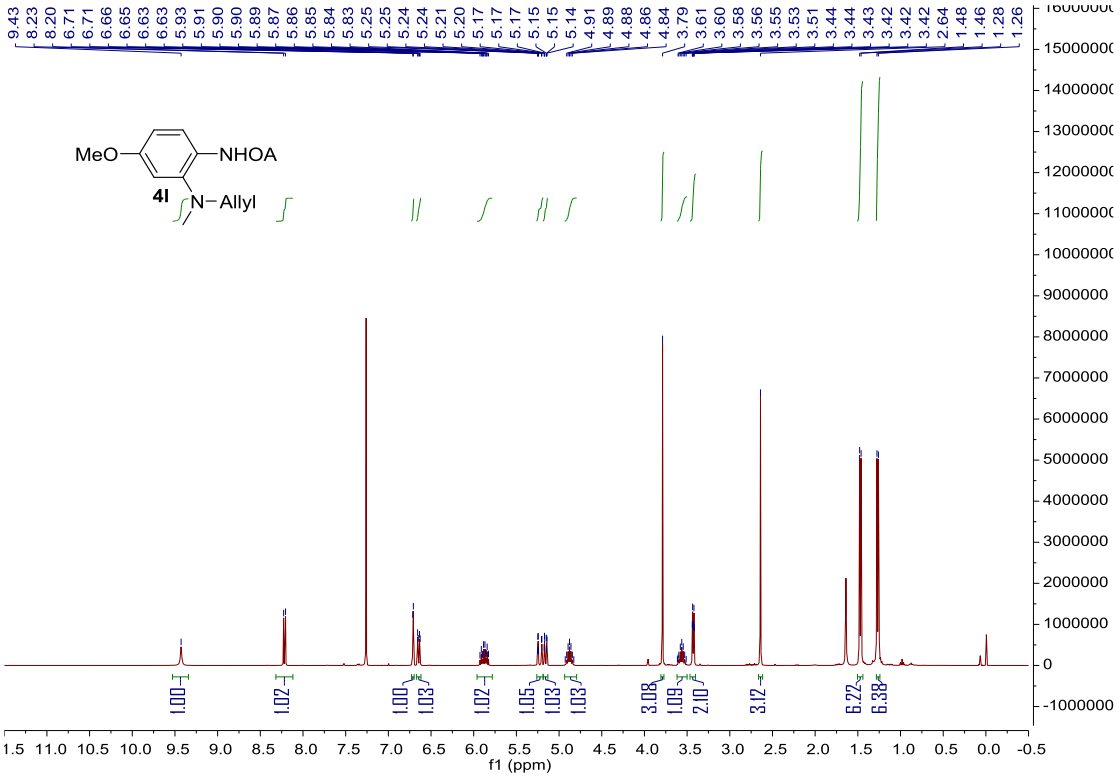
^{1}H NMR (400 MHz) of **4k** in $CDCl_3$



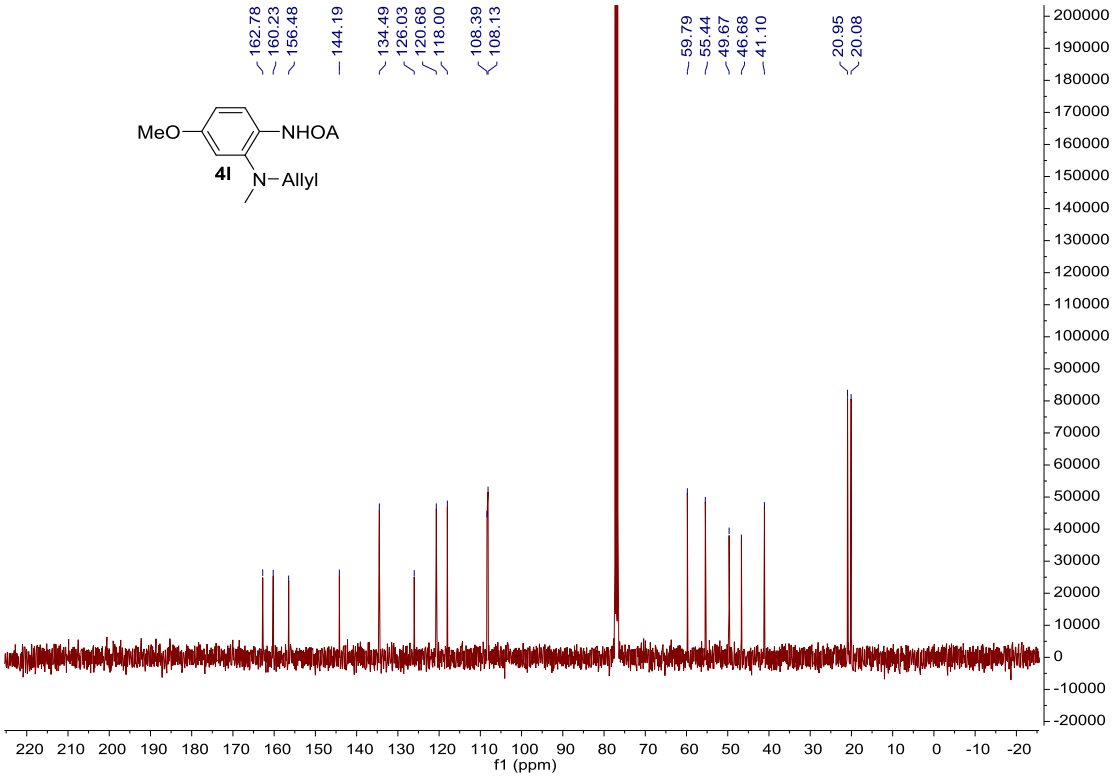
^{13}C NMR (100 MHz) of **4k** in $CDCl_3$



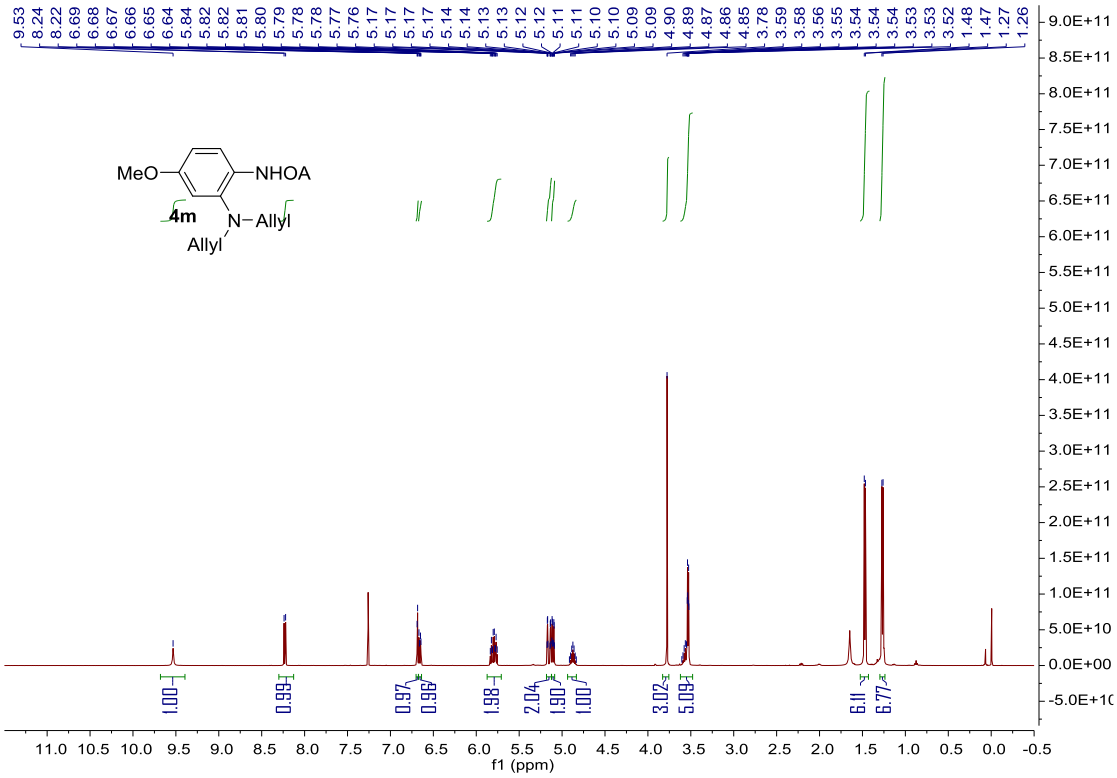
^{1}H NMR (400 MHz) of **4l** in $CDCl_3$



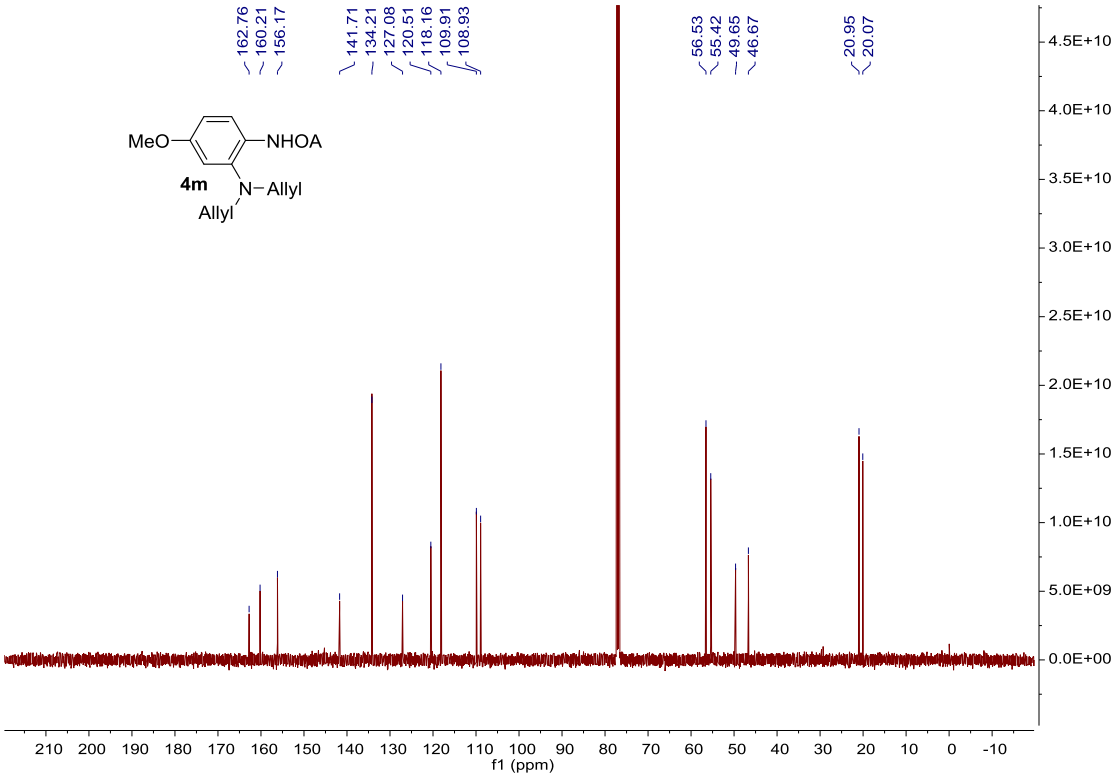
^{13}C NMR (100 MHz) of **4l** in $CDCl_3$



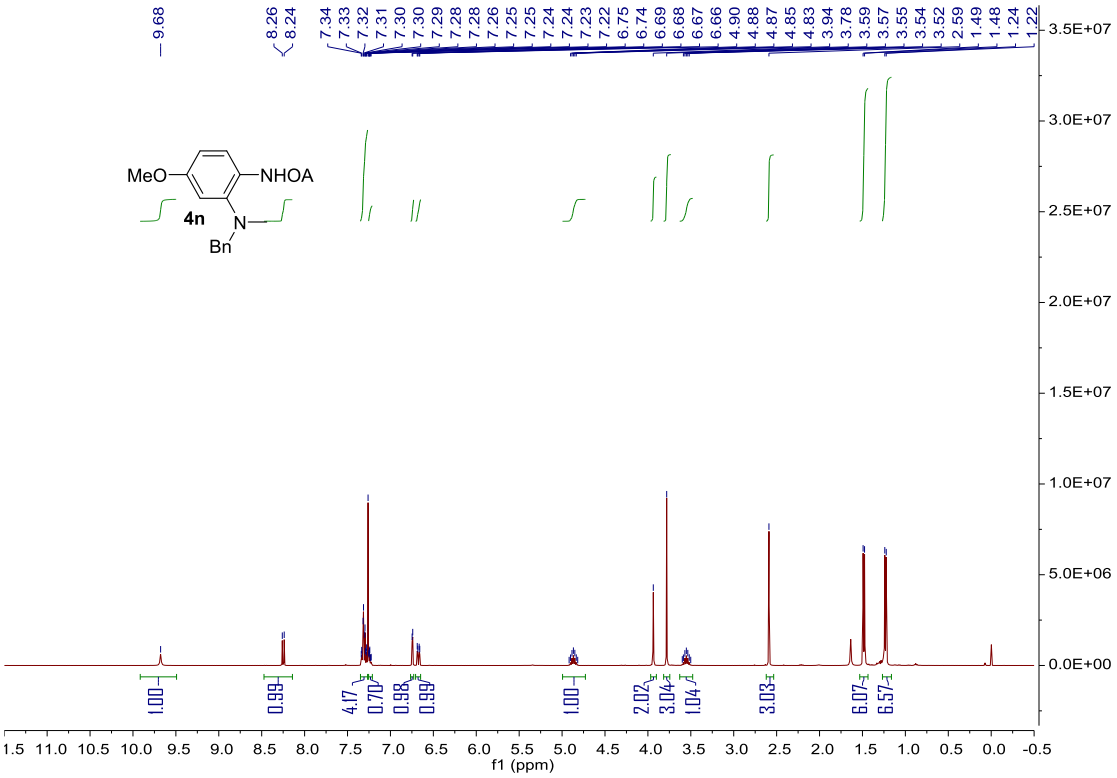
^{1}H NMR (500 MHz) of **4m** in $CDCl_3$



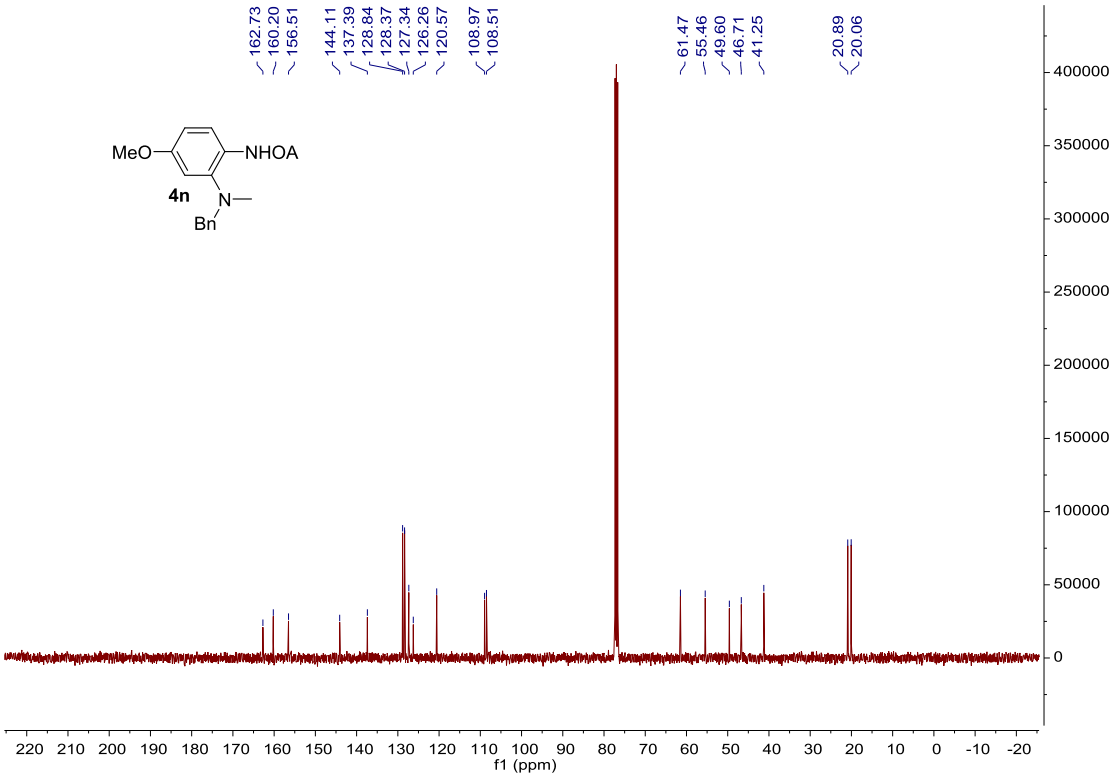
^{13}C NMR (125 MHz) of **4m** in $CDCl_3$



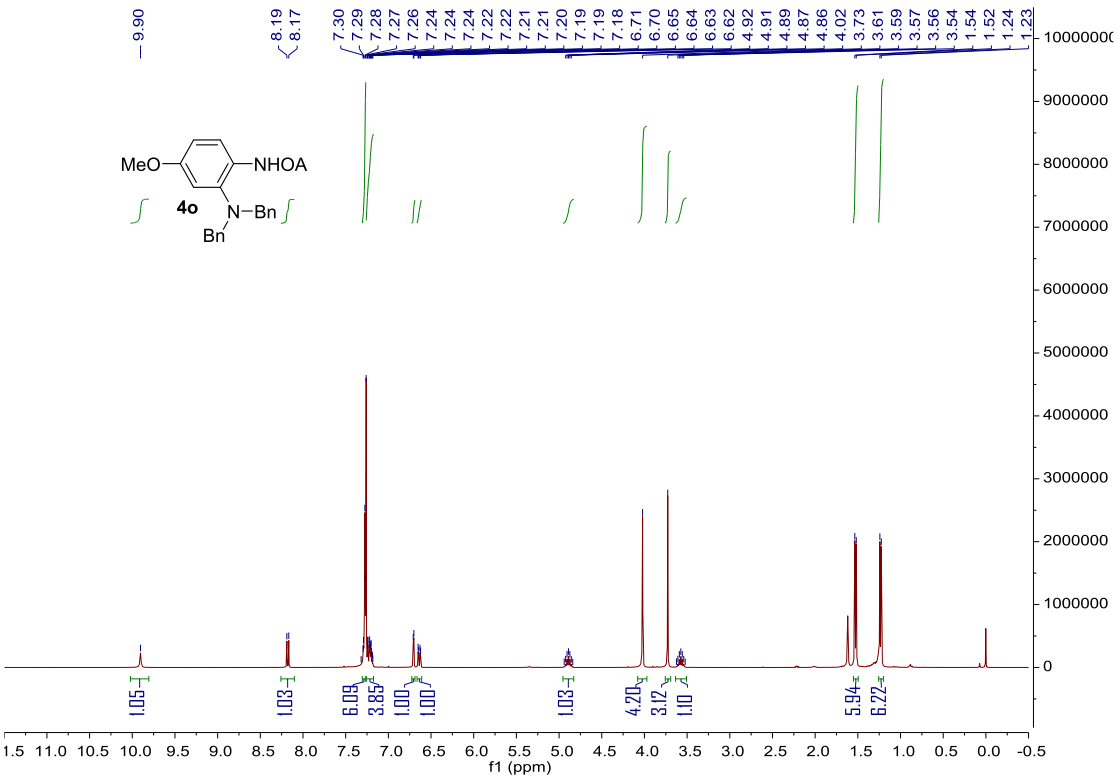
^{1}H NMR (400 MHz) of **4n** in $CDCl_3$



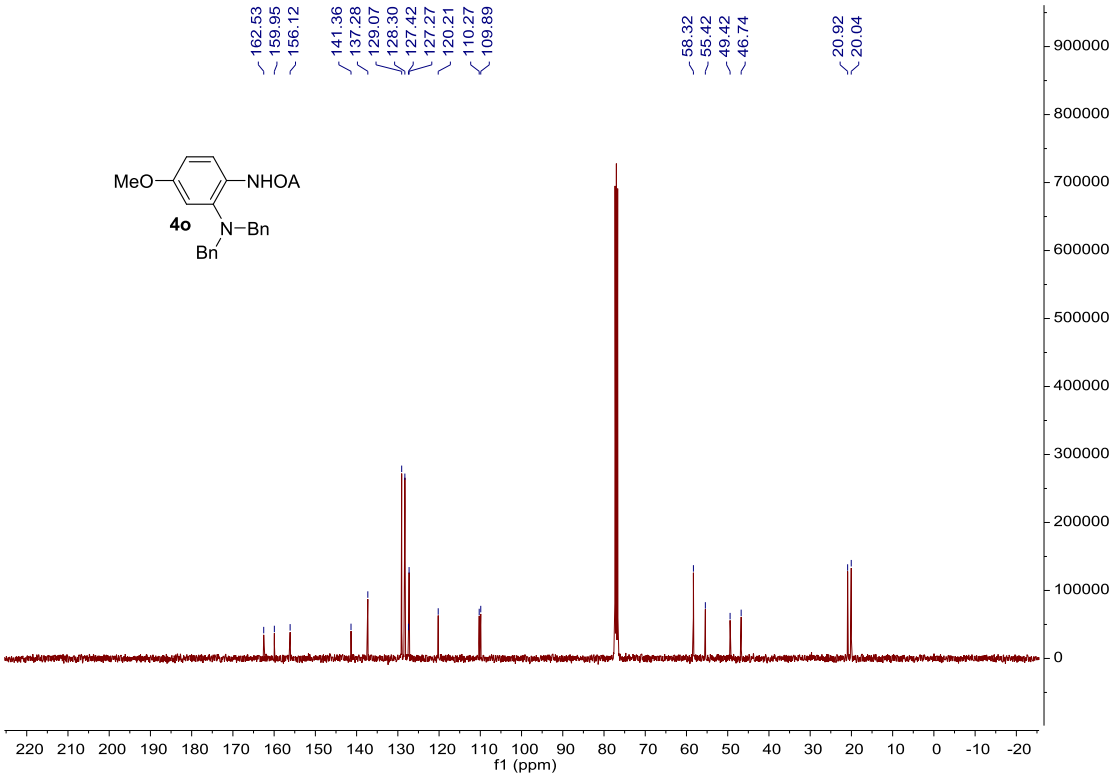
^{13}C NMR (100MHz) of **4n** in $CDCl_3$



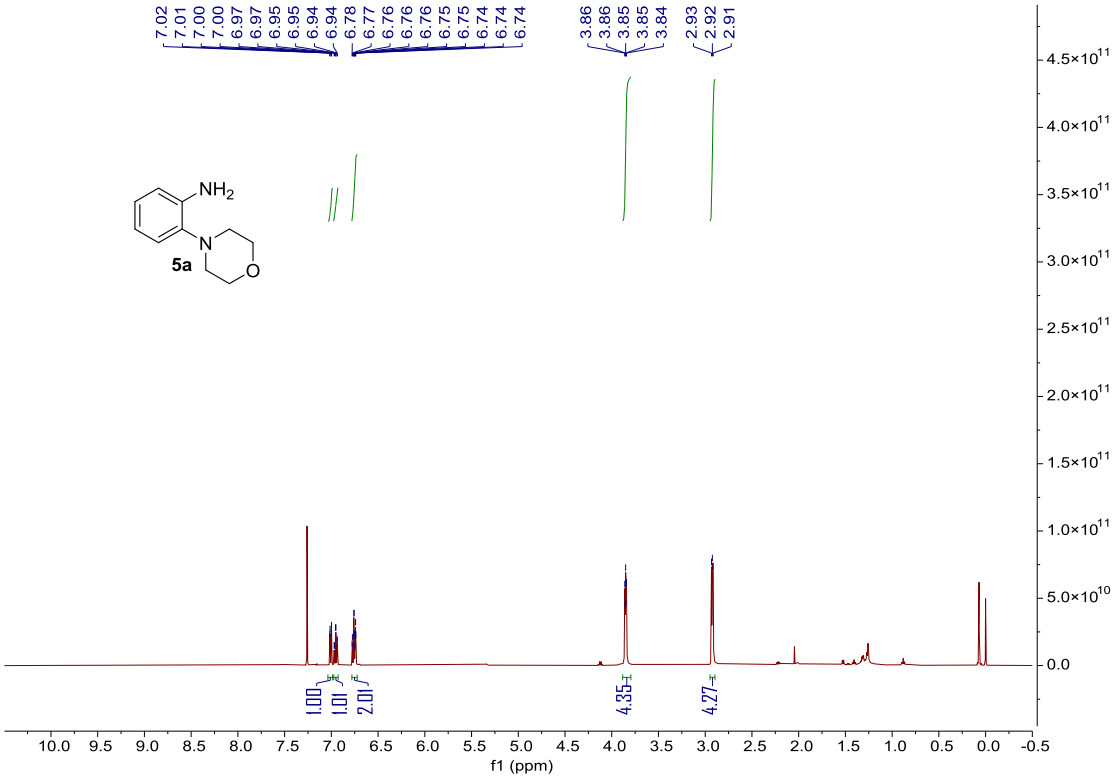
^{1}H NMR (400 MHz) of **4o** in $CDCl_3$



^{13}C NMR (100MHz) of **4o** in $CDCl_3$



^{1}H NMR (400 MHz) of **5a** in $CDCl_3$


7.02
7.01
7.00
7.00
6.97
6.97
6.95
6.95
6.94
6.94
6.78
6.77
6.76
6.76
6.76
6.75
6.75
6.74
6.74
6.74
3.86
3.86
3.85
3.85
3.84
2.93
2.92
2.91
NH2
N
O
5a
4.5×10^11
4.0×10^11
3.5×10^11
3.0×10^11
2.5×10^11
2.0×10^11
1.5×10^11
1.0×10^11
5.0×10^10
0.0
1.00
1.01
2.01
4.35
4.27
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)