Supporting Information

Regioselective Synthesis 2-Aminophenols from arylhydroxylamines

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Content

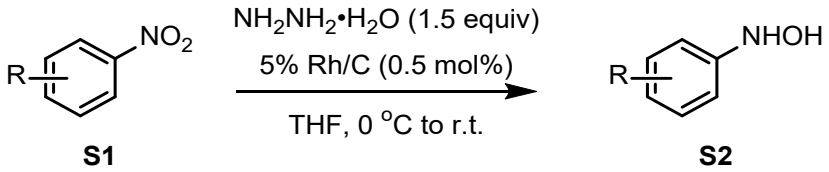
General remarks

All reactions were carried out in oven-dried glassware under air with magnetic stirring. Solvents were dried by passage through an activated alumina column under argon. Liquids and solutions were transferred via syringe. All reactions were monitored by thin-layer chromatography (TLC) with E. Merck silica gel 60 F254 pre-coated plates (0.25 mm). Silica gel (particle size 0.032-0.063 mm) purchased from SiliCycle was used for flash chromatography. Proton (^{1}H) and carbon (^{13}C) NMR spectra were recorded on a Bruker AV-500 spectrometer operating at 500 MHz for proton and 126 MHz for carbon nuclei using $CDCl_3$ or DMSO-d_6 as solvent, respectively. Chemical shifts were referenced to the residual proton solvent peaks (^{1}H: $CDCl_3$, δ 7.26; DMSO-d_6, δ 2.50), solvent ^{13}C signals ($CDCl_3$, δ 77.16; DMSO-d_6, δ 39.52). Proton signal data uses the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, p = pentet, m = multiplet and *J* = coupling constant. High Resolution Mass Spectrometry was performed on a Bruker Apex II mass instrument with quadrupole mass analyzer under the conditions of electrospray ionization (ESI) in both positive and negative mode. ^{35}Cl was used to calculate the theoretical m/z for all chlorine containing compounds. ^{79}Br was used to calculate the theoretical m/z for all bromine containing compounds.

Arylhydroxylamine substrates **1a-1ap** were prepared according to the literature procedures.[1] All heating reactions were carried out in an oil bath.

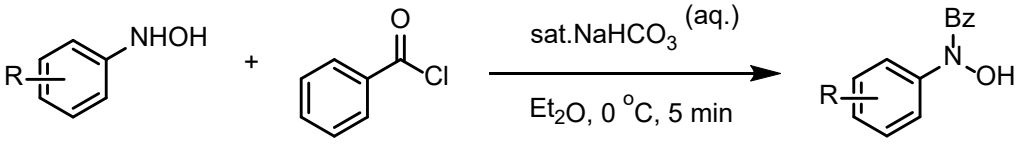
General procedure for the synthesis of arylhydroxylamines

Method A:



S1 **S2**

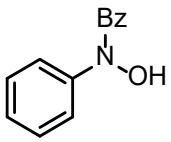
A solution of nitroarene **S1** (1.0 equiv) and 5% Rh/C (0.5 mol% Rh) in THF (0.5 M) was cooled to 0 °C under N_2 atmosphere. Hydrazine monohydrate (1.5 equiv) was added dropwise. The reaction mixture was slowly warmed to room temperature and stirred for 4 h. The reaction mixture was filtered through diatomite and concentrated in vacuum. Recrystallization from CH_2Cl_2/PE at r.t. afforded the title compound **S2**. The resulting crude residue was used directly in the next step.



S2 **1**

To a solution of **S2** in ether (0.5 M), saturated aqueous solution (1.0 M) of sodium bicarbonate was added. Then the solution was cooled to 0 °C and benzoyl chloride (1.1 equiv) was added dropwise. After stirring for 5 min at 0 °C, the reaction was quenched by saturated aqueous ammonium chloride. The mixture was extracted with ether, the organic layer was washed by brine and dried over sodium sulfate. After the solvent was removed in vacuo, the crude product was purified by flash column chromatography (PE/CH_2Cl_2) to obtain **1**.

Previously reported arylhydroxylamines



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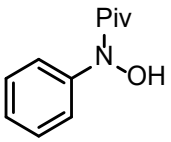

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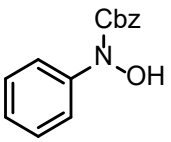

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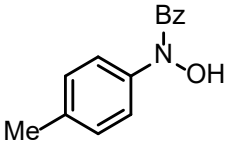

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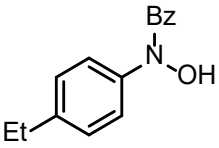

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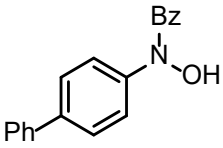

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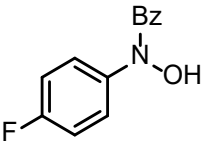

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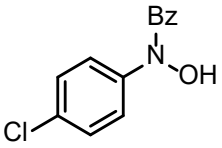

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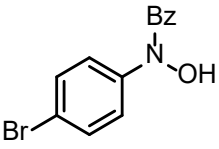

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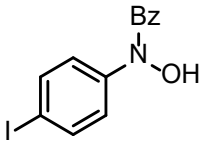

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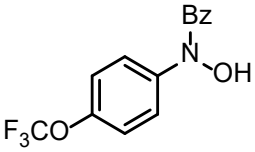

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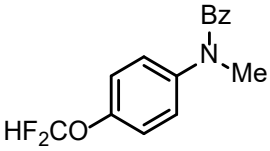

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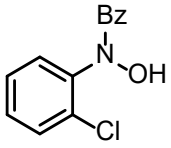

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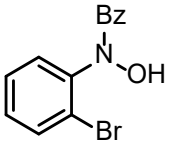

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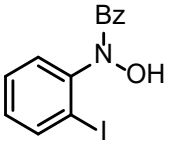

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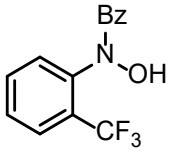

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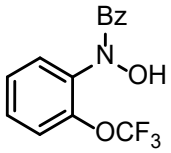

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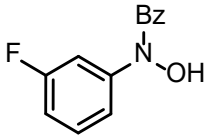

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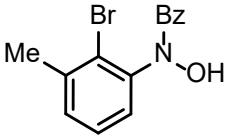

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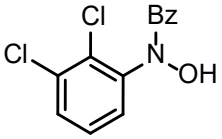

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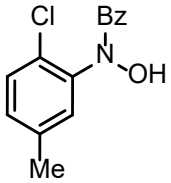

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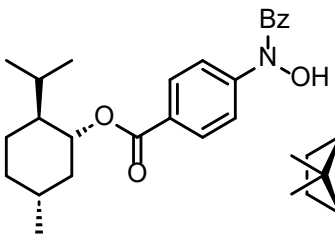

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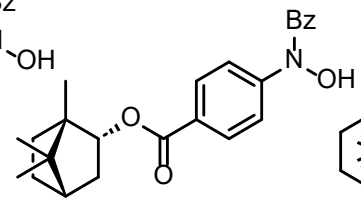

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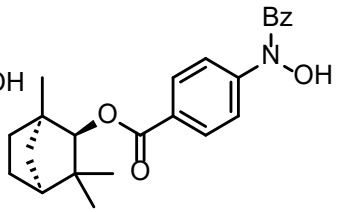

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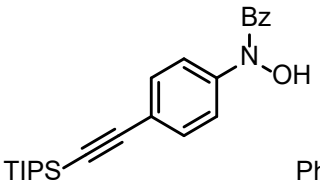

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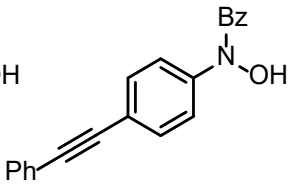

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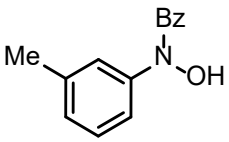

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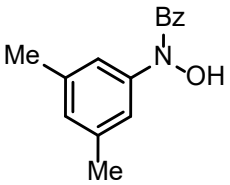

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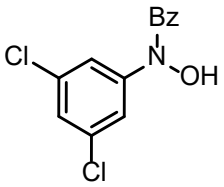

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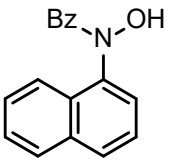

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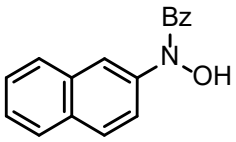

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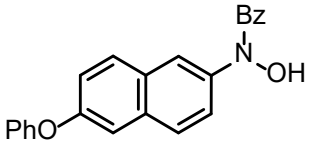

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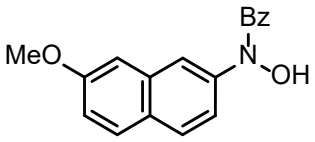
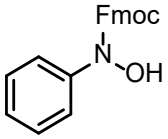


1ap[1]

Analytical data of new arylhydroxylamines

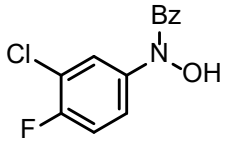
1. (9*H*-fluoren-9-yl)methyl hydroxy(phenyl)carbamate (1d)



1d

Followed **Method A** on 10 mmol scale; 1.65 g, 50% yield; White solid, m.p. = 105-107 oC; R_f= 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 7.74 (d, J = 7.6 Hz, 2H), 7.66 (s, 1H), 7.43–7.29 (m, 8H), 7.23 (t, J = 7.4 Hz, 3H), 4.60–4.45 (m, 2H), 4.20 (t, J = 6.8 Hz, 1H); ^{13}C NMR (126 MHz, Chloroform-d): δ 155.5, 143.5, 141.5, 140.5, 128.8, 128.0, 127.2, 126.4, 125.2, 122.6, 120.2, 68.8, 47.0; HRMS (ESI) m/z calcd for $[C_{21}H_{18}NO_3]^+$ $[M+H]^+$: 332.1282, found 332.1289.

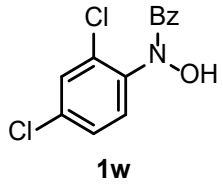
2. *N*-(3-chloro-4-fluorophenyl)-*N*-hydroxybenzamide (1t)



1t

Followed **Method A** on 10 mmol scale; 1.22 g, 47% yield; Light red solid, m.p. = 130-132 ºC; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.27 (s, 1H), 7.44–7.37 (m, 4H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.03 (d, *J* = 8.0 Hz, 2H); ^{13}C NMR (126 MHz, Chloroform-d): δ 166.10, 158.34, 156.34, 136.48 (d, *J* = 3.8 Hz), 131.82, 131.60, 128.88, 128.59, 128.05, 125.78 (d, *J* = 7.9 Hz), 121.81 (d, *J* = 19.3 Hz), 116.92 (d, *J* = 22.4 Hz); HRMS (ESI) m/z calcd for $[C_{13}H_{10}ClFNO_2]^+$ $[M+H]^+$: 266.0379, found 266.0373.

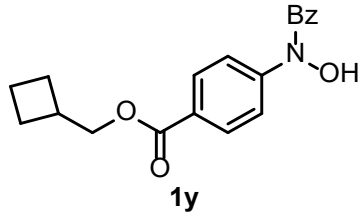
3. *N*-(2,4-dichlorophenyl)-*N*-hydroxybenzamide (1w)



1w

Followed **Method A** on 10 mmol scale; 1.18 g, 42% yield; Light red solid, m.p. = 104-106 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.12 (s, 1H), 7.45 (d, J = 7.6 Hz, 2H), 7.42–7.34 (m, 3H), 7.29–7.22 (m, 3H); ^{13}C NMR (126 MHz, Chloroform-d): δ 168.2, 136.8, 136.4, 134.4, 132.0, 131.8, 131.6, 130.7, 128.6, 128.4, 128.3; HRMS (ESI) m/z calcd for $[C_{13}H_{10}Cl_2NO_2]^+$ $[M+H]^+$: 282.0083, found 282.0083.

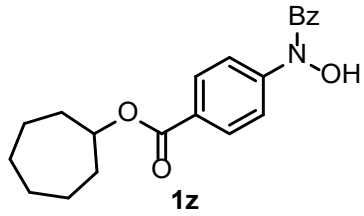
4. cyclobutylmethyl 4-(*N*-hydroxybenzamido)benzoate (1y)



1y

Followed **Method A** on 10 mmol scale; 1.88 g, 58% yield; Light red solid, m.p. = 91-92 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.27 (s, 1H), 7.93 (d, *J* = 8.1 Hz, 2H), 7.45–7.39 (m, 3H), 7.33–7.26 (m, 4H), 4.26 (d, *J* = 6.7 Hz, 2H), 2.77–2.65 (m, 1H), 2.14–2.07 (m, 2H), 1.97–1.82 (m, 4H); ^{13}C NMR (126 MHz, Chloroform-d): δ 165.9, 143.3, 132.3, 131.5, 130.4, 129.1, 128.9, 128.6, 124.0, 69.1, 34.3, 24.9, 18.6; HRMS (ESI) m/z calcd for $[C_{19}H_{20}NO_4]^+$ $[M+H]^+$: 326.1387, found 326.1389.

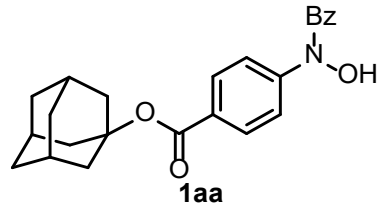
5. cycloheptyl 4-(*N*-hydroxybenzamido)benzoate (1z)



1z

Followed **Method A** on 10 mmol scale; 1.83 g, 52% yield; Light red solid, m.p. = 96-98 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.32 (s, 1H), 7.92 (d, *J* = 8.1 Hz, 2H), 7.45–7.39 (m, 3H), 7.32–7.24 (m, 4H), 5.19–5.12 (m, 1H), 2.02–1.94 (m, 2H), 1.82–1.74 (m, 2H), 1.74–1.66 (m, 2H), 1.62–1.56 (m, 4H), 1.54–1.46 (m, 2H); ^{13}C NMR (126 MHz, Chloroform-d): δ 166.3, 165.2, 143.5, 132.6, 131.4, 130.3, 129.4, 128.8, 128.5, 76.2, 33.9, 28.4, 23.0; HRMS (ESI) m/z calcd for $[C_{21}H_{24}NO_4]^+$ $[M+H]^+$: 354.1700, found 354.1699.

6. (3s,5s,7s)-adamantan-1-yl 4-(*N*-hydroxybenzamido)benzoate (1aa)

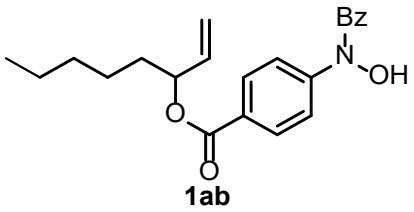


1aa

Followed **Method A** on 10 mmol scale; 2.15 g, 55% yield; Light red solid, m.p. = 95-97 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.18 (s, 1H), 7.87 (d, *J* = 7.2 Hz, 2H), 7.45–7.39 (m, 3H), 7.30 (t, *J* = 7.3 Hz, 2H), 7.22 (d, *J*

= 8.1 Hz, 2H), 2.22 (s, 9H), 1.70 (d, *J* = 4.1 Hz, 6H); ^{13}C NMR (126 MHz, Chloroform-d): δ 165.5, 164.6, 142.9, 132.3, 131.5, 130.8, 130.3, 128.9, 128.5, 124.1, 81.7, 41.5, 36.3, 31.0; HRMS (ESI) m/z calcd for $[C_{24}H_{26}NO_4]^+$ $[M+H]^+$: 392.1856, found 392.1854.

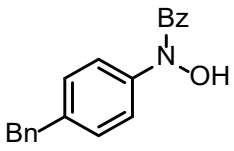
7. oct-1-en-3-yl 4-(*N*-hydroxybenzamido)benzoate (1ab)



1ab

Followed **Method A** on 10 mmol scale; 1.54 g, 42% yield; Light red solid, m.p. = 65-67 ºC; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.32 (s, 1H), 7.94 (d, *J* = 6.9 Hz, 2H), 7.48–7.36 (m, 3H), 7.33–7.24 (m, 4H), 5.90–5.81 (m, 1H), 5.44 (q, *J* = 6.5 Hz, 1H), 5.29 (d, *J* = 17.2 Hz, 1H), 5.19 (d, *J* = 10.5 Hz, 1H), 1.81–1.64 (m, 2H), 1.42–1.33 (m, 2H), 1.33–1.27 (m, 4H), 0.90–0.85 (m, 3H); ^{13}C NMR (126 MHz, Chloroform-d): δ 165.1, 136.5, 131.5, 130.4, 128.9, 128.6, 117.0, 76.0, 34.3, 31.7, 24.9, 22.6, 14.1; HRMS (ESI) m/z calcd for $[C_{22}H_{26}NO_4]^+$ $[M+H]^+$: 368.1856, found 368.1859.

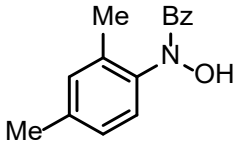
8. *N*-(4-benzylphenyl)-*N*-hydroxybenzamide (1af)



1af

Followed **Method A** on 10 mmol scale; 1.06 g, 35% yield; Light red solid, m.p. = 132-134 ºC; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.64 (s, 1H), 7.62 (d, *J* = 7.3 Hz, 2H), 7.48–7.39 (m, 5H), 7.28 (d, *J* = 7.3 Hz, 2H), 7.25–7.17 (m, 5H), 3.94 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 167.7, 141.2, 140.1, 138.8, 135.5, 130.2, 128.8, 128.6, 128.4, 128.3, 127.8, 126.0, 40.5; HRMS (ESI) m/z calcd for $[C_{20}H_{18}NO_2]^+$ $[M+H]^+$: 304.1332, found 3304.1331.

9. *N*-(2,4-dimethylphenyl)-*N*-hydroxybenzamide (1aj)

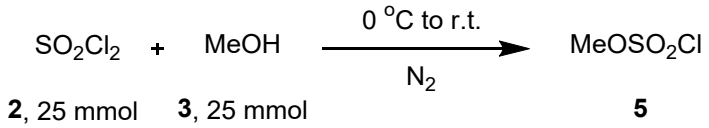


1aj

Followed **Method A** on 10 mmol scale; 1.20 g, 50% yield; white solid, m.p. = 110-112 ºC; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 9.23 (s, 1H), 7.40 (d, *J* = 7.7 Hz, 2H), 7.35–7.31 (m, 1H), 7.22 (t, *J* = 7.7 Hz, 2H), 7.05–7.01 (m, 2H), 6.93 (dd, *J* = 8.0, 2.0 Hz, 1H), 2.30 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (126 MHz, Chloroform-d): δ 165.9, 140.2, 136.6, 135.8, 132.1, 131.9, 131.0, 129.2, 128.7, 128.1, 127.7, 21.6, 17.7; HRMS (ESI) m/z calcd for $[C_{15}H_{16}NO_2]^+$ $[M+H]^+$: 242.1176, found 242.1172.

General procedure for the synthesis of Methyl chlorosulfonate

Method B:[2]

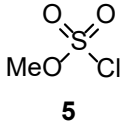


Dry methanol (25 mmol) was slowly added to the sulfuryl chloride (25 mmol) within 30 minutes at 0 °C. After complete addition, the mixture was stirred at room temperature with continuous nitrogen for 2 hours to remove hydrogen chloride. The resulting liquid was distilled affording the title compound **5**.

The experimental data are in accordance with the literature reports: **5**[2].

Analytical data of Methyl chlorosulfonate

1. Methyl chlorosulfonate (5)[2]

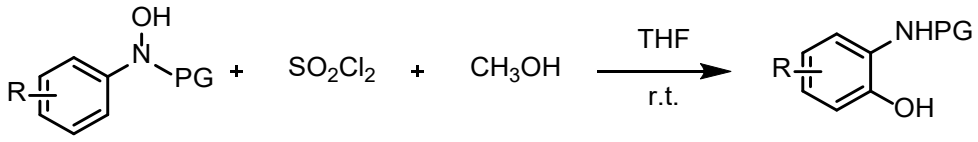


5

Followed **Method B**; 1.37 g, 42% yield; colorless oil; ^{1}H NMR (500 MHz, Chloroform-d): δ 4.20 (s, 3H).

General procedure for the synthesis of 4

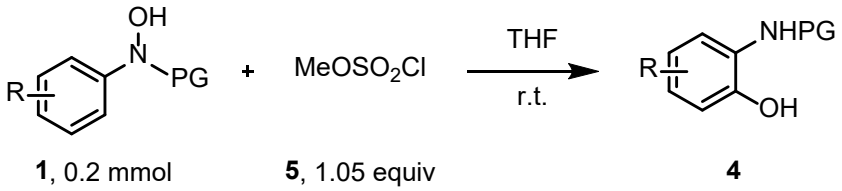
Method C:



To a solution of **1** (0.2 mmol, 1.0 equiv) and **2** (0.6 mmol, 3.0 equiv) in THF (2 mL) was slowly added **3** (0.6 mmol, 3.0 equiv) and the reaction was stirred at room temperature for 2 h until **1** was completely consumed, which was monitored by TLC analysis. The reaction mixture was evaporated under reduced pressure and purified by column chromatography to give the

desired product **4**.

Method D:

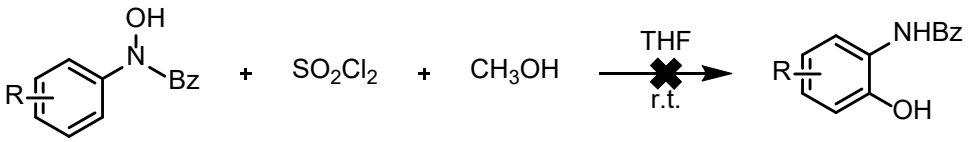


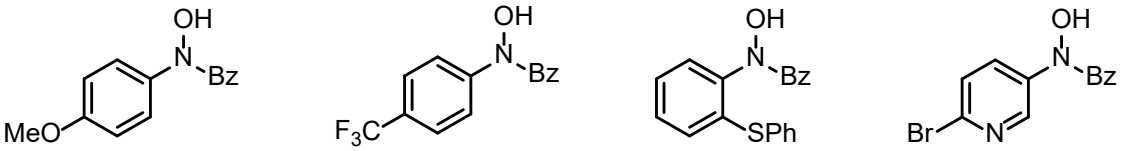
1, 0.2 mmol **5**, 1.05 equiv **4**

To a solution of **1** (0.2 mmol, 1.0 equiv) in THF (2 mL) was added with **5** (0.21 mmol, 1.05 equiv), and the reaction was stirred at room temperature for 2 h until **1** was completely consumed, which was monitored by TLC analysis. The reaction mixture was evaporated under reduced pressure and purified by column chromatography to give the desired product **4**.

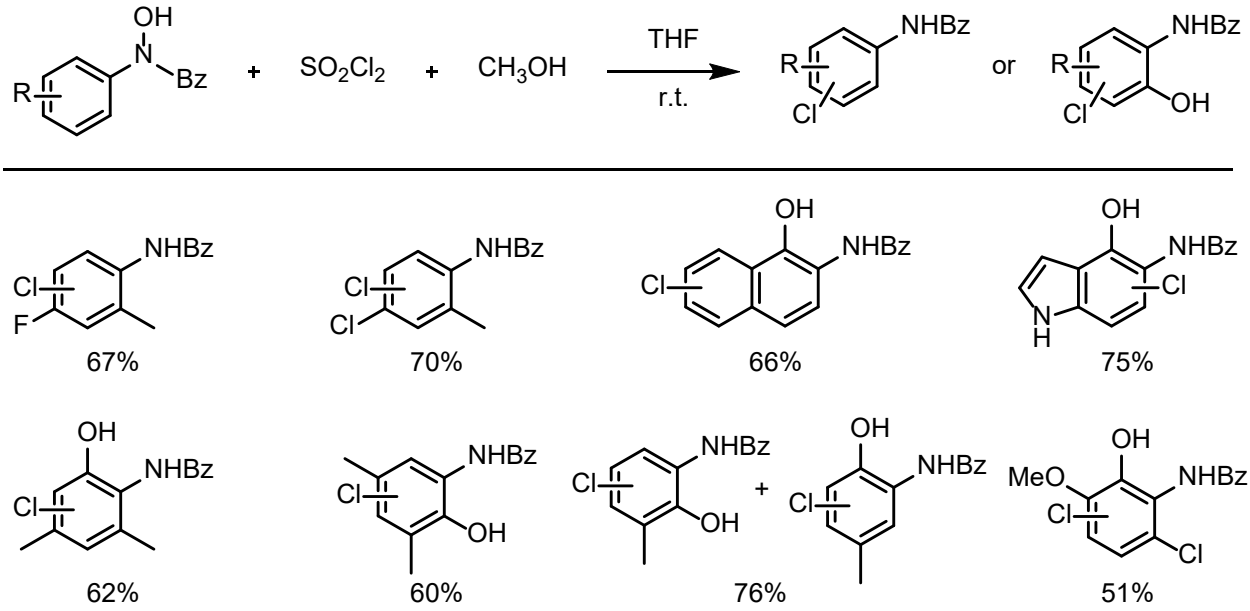
The experimental data are in accordance with the literature reports: **4a**[3].

Examples of unsuccessful substrates





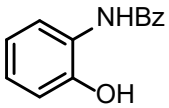
N.R. N.R. complex complex



Note: The exact position of the chlorine atom onto the phenyl ring was not confirmed.

Analytical data of 2-aminophenols

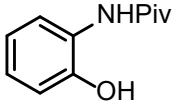
1. *N*-(2-hydroxyphenyl)benzamide (4a)[3]



4a

Followed **Method C**; 33 mg, 77% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 9.74 (s, 1H), 9.51 (s, 1H), 7.98 (d, *J* = 7.1 Hz, 2H), 7.70 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 2H), 7.04 (td, *J* = 8.0, 1.6 Hz, 1H), 6.94 (dd, *J* = 8.0, 1.3 Hz, 1H), 6.84 (td, *J* = 7.8, 1.3 Hz, 1H).

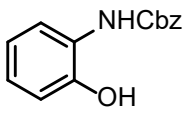
2. *N*-(2-hydroxyphenyl)pivalamide (4b)



4b

Followed **Method C**; 12 mg, 31% yield; White solid, m.p. = 115-117 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.77 (s, 1H), 8.53 (s, 1H), 7.77 (dd, *J* = 7.9, 1.7 Hz, 1H), 6.94 (td, *J* = 7.6, 1.6 Hz, 1H), 6.87 (dd, *J* = 8.0, 1.6 Hz, 1H), 6.77 (td, *J* = 7.6, 1.5 Hz, 1H), 1.23 (s, 9H); ^{13}C NMR (126 MHz, DMSO-d6): δ 176.4, 147.7, 126.5, 124.5, 121.8, 119.1, 115.5, 27.3; HRMS (ESI) m/z calcd for $[C_{11}H_{16}NO_2]^+$ $[M+H]^+$: 194.1176, found 194.1167.

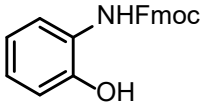
3. benzyl (2-hydroxyphenyl)carbamate (4c)



4c

Followed **Method C**; 24 mg, 49% yield; White solid, m.p. = 86-88 ºC; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.67 (s, 1H), 8.38 (s, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.44–7.41 (m, 2H), 7.41–7.36 (m, 2H), 7.33 (t, *J* = 7.1 Hz, 1H), 6.92 (td, *J* = 7.6, 1.7 Hz, 1H), 6.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 6.76 (td, *J* = 7.6, 1.5 Hz, 1H), 5.14 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 153.8, 136.8, 128.4, 127.9, 127.80, 125.8, 119.0, 115.3, 65.7; HRMS (ESI) m/z calcd for $[C_{14}H_{14}NO_3]^+$ $[M+H]^+$: 244.0968, found 224.0967.

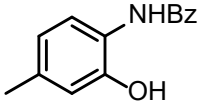
4. (9*H*-fluoren-9-yl)methyl (2-hydroxyphenyl)carbamate (4d)



4d

Followed **Method C**; 40 mg, 60% yield; White solid, m.p. = 167-168 ºC; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.67 (s, 1H), 8.47 (s, 1H), 7.90 (d, *J* = 7.6 Hz, 2H), 7.76 (d, *J* = 7.5 Hz, 2H), 7.49 (d, *J* = 6.6 Hz, 1H), 7.42 (t, *J* = 7.4 Hz, 2H), 7.37–7.30 (m, 2H), 6.93 (td, *J* = 7.6, 1.7 Hz, 1H), 6.86 (dd, *J* = 8.1, 1.5 Hz, 1H), 6.78–6.72 (m, 1H), 4.40 (d, *J* = 7.0 Hz, 2H), 4.29 (t, *J* = 7.0 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 153.9, 143.8, 140.7, 127.7, 127.1, 125.7, 125.3, 120.1, 119.0, 115.4, 66.0, 46.6; HRMS (ESI) m/z calcd for $[C_{21}H_{18}NO_3]^+$ $[M+H]^+$: 332.1281, found 332.1287.

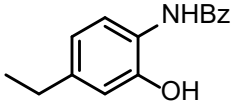
5. *N*-(2-hydroxy-4-methylphenyl)benzamide (4e)



4e

Followed **Method C**; 33 mg, 73% yield; White solid, m.p. = 163-165 ºC; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.61 (s, 1H), 9.51 (s, 1H), 8.00–7.94 (m, 2H), 7.62–7.56 (m, 1H), 7.55–7.48 (m, 3H), 6.74 (d, *J* = 1.9 Hz, 1H), 6.65 (dd, *J* = 8.1, 1.9 Hz, 1H), 2.24 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 149.3, 135.1, 134.4, 131.6, 128.5, 127.5, 124.1, 123.3, 119.7, 116.7, 20.7; HRMS (ESI) m/z calcd for $[C_{14}H_{14}NO_2]^+$ $[M+H]^+$: 228.1019, found 228.1026.

6. *N*-(4-ethyl-2-hydroxyphenyl)benzamide (4f)

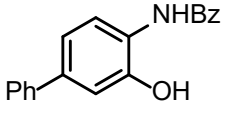


4f

Followed **Method C**; 29 mg, 60% yield; White solid, m.p. = 118-120 ºC; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ9.61 (s, 1H), 9.53 (s, 1H), 7.99–7.94 (m, 2H), 7.61–7.56 (m, 1H), 7.52 (t, *J* = 7.7 Hz, 3H), 6.76 (d, *J* = 1.9 Hz, 1H), 6.68 (dd, *J* = 8.1, 1.9 Hz, 1H), 2.53 (q, *J* = 7.7 Hz,

2H), 1.16 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 149.5, 141.7, 134.4, 131.7, 128.5, 127.6, 124.4, 123.5, 118.4, 115.5, 27.8, 15.7; HRMS (ESI) m/z calcd for $[C_{15}H_{16}NO_2]^+$ $[M+H]^+$: 242.176, found 242.1158.

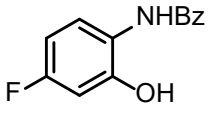
7. *N*-(3-hydroxy-[1,1'-biphenyl]-4-yl)benzamide (4g)



4g

Followed **Method C**; 36 mg, 62% yield; White solid, m.p. = 212-214 ºC; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.98 (s, 1H), 9.59 (s, 1H), 8.00 (d, *J* = 7.1 Hz, 2H), 7.79 (d, *J* = 8.2 Hz, 1H), 7.61 (t, *J* = 6.7 Hz, 3H), 7.55 (t, *J* = 7.5 Hz, 2H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.35 (t, *J* = 7.3 Hz, 1H), 7.20 (d, *J* = 1.7 Hz, 1H), 7.16 (dd, *J* = 8.2, 1.7 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 149.6, 139.9, 137.6, 134.4, 131.8, 129.0, 128.6, 127.6, 127.3, 126.4, 125.5, 124.3, 117.5, 114.0; HRMS (ESI) m/z calcd for $[C_{19}H_{16}NO_2]^+$ $[M+H]^+$: 290.1176, found 290.1186.

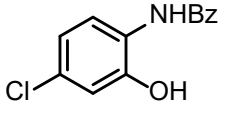
8. *N*-(4-fluoro-2-hydroxyphenyl)benzamide (4h)



4h

Followed **Method C**; 25 mg, 54% yield; White solid, m.p. = 197-199 ºC; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.22 (s, 1H), 9.55 (s, 1H), 7.97 (d, *J* = 7.6 Hz, 2H), 7.57 (m, 2H), 7.52 (t, *J* = 7.5 Hz, 2H), 6.73 (dd, *J* = 10.4, 2.9 Hz, 1H), 6.67 (td, *J* = 8.6, 2.9 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.4, 159.9 (d, *J* = 241.1 Hz), 151.6 (d, *J* = 11.7 Hz), 134.3, 131.7, 128.5, 127.6, 126.4 (d, *J* = 10.1 Hz), 122.3 (d, *J* = 2.9 Hz), 105.3 (d, *J* = 22.2 Hz), 103.1 (d, *J* = 24.8 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -115.77; HRMS (ESI) m/z calcd for $[C_{13}H_{11}FNO_2]^+$ $[M+H]^+$: 232.0768, found 232.0772.

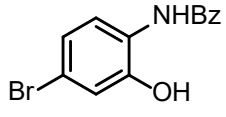
9. *N*-(4-chloro-2-hydroxyphenyl)benzamide (4i)



4i

Followed **Method C**; 41 mg, 83% yield; White solid, m.p. = 187-189 ºC; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.33 (s, 1H), 9.53 (s, 1H), 7.97 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 8.5 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 6.96 (d, *J* = 2.4 Hz, 1H), 6.89 (dd, *J* = 8.5, 2.4 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 150.7, 134.2, 131.8, 129.1, 128.5, 127.6, 125.7, 125.0510, 118.78, 115.6; HRMS (ESI) m/z calcd for $[C_{13}H_{11}ClNO_2]^+$ $[M+H]^+$:248.0473, found 248.0473.

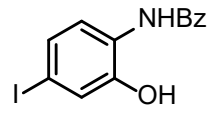
10. *N*-(4-bromo-2-hydroxyphenyl)benzamide (4j)



4j

Followed **Method C**; 26 mg, 45% yield; White solid, m.p. = 214-216 °C; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.34 (s, 1H), 9.51 (s, 1H), 7.97 (d, *J* = 7.2 Hz, 2H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.59 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.09 (d, *J* = 2.2 Hz, 1H), 7.02 (dd, *J* = 8.5, 2.3 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 150.8, 134.2, 131.8, 128.5, 127.6, 125.9, 125.5, 121.7, 118.4, 117.1; HRMS (ESI) m/z calcd for $[C_{13}H_{11}BrNO_2]^+$ $[M+H]^+$: 291.9968, found 291.9978.

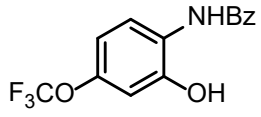
11. *N*-(2-hydroxy-4-iodophenyl)benzamide (4k)



4k

Followed **Method C**; 55 mg, 81% yield; White solid, m.p. = 194-196 °C; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.25 (s, 1H), 9.49 (s, 1H), 7.96 (d, *J* = 7.6 Hz, 2H), 7.59 (t, *J* = 7.3 Hz, 1H), 7.55–7.50 (m, 3H), 7.26 (d, *J* = 1.9 Hz, 1H), 7.18 (dd, *J* = 8.4, 2.0 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.2, 150.6, 134.2, 131.8, 128.6, 127.7, 127.6, 126.1, 126.0, 124.1, 89.2; HRMS (ESI) m/z calcd for $[C_{13}H_{11}INO_2]^+$ $[M+H]^+$: 339.9829, found 339.9818.

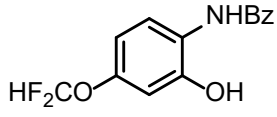
12. *N*-(2-hydroxy-4-(trifluoromethoxy)phenyl)benzamide (4l)



4l

Followed **Method C**; 46 mg, 77% yield; White solid, m.p. = 201-203 °C; R_f = 0.4 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.44 (s, 1H), 9.57 (s, 1H), 7.97 (d, *J* = 7.1 Hz, 2H), 7.74 (d, *J* = 8.7 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 6.88 (d, *J* = 2.7 Hz, 1H), 6.84 (dd, *J* = 8.6, 2.7 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.5, 146.6, 136.5, 134.8, 131.7, 128.5, 127.7, 121.8, 119.4, 118.6, 116.5, 114.5; ^{19}F NMR (471 MHz, DMSO-d6): δ -81.53; HRMS (ESI) m/z calcd for $[C_{14}H_{11}F_3NO_3]^+$ $[M+H]^+$: 298.0686, found 298.0698.

13. *N*-(4-(difluoromethoxy)-2-hydroxyphenyl)benzamide (4m)

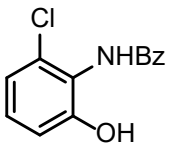


4m

Followed **Method C**; 34 mg, 61% yield; White solid, m.p. = 176-178 °C; R_f = 0.3 (PE:EtOAc =3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.16 (s, 1H), 9.53 (s, 1H), 7.97 (d, *J* = 7.0 Hz, 2H), 7.63 (d, *J* = 8.7 Hz, 1H), 7.59

(t, J = 7.4 Hz, 1H), 7.53 (t, J = 7.5 Hz, 2H), 7.17 (t, J = 74.3 Hz, 1H), 6.73 (d, J = 2.7 Hz, 1H), 6.66 (dd, J = 8.7, 2.7 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.4, 151.0, 148.5, 134.2, 131.7, 128.5, 127.5, 125.7, 123.2, 116.4 (t, J_{C-F} = 257.4 Hz), 109.0, 106.7; ^{19}F NMR (471 MHz, DMSO-d6): δ -81.50; HRMS (ESI) m/z calcd for $[C_{14}H_{12}F_2NO_3]^+$ $[M+H]^+$: 280.0780, found 280.0781.

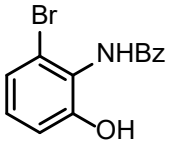
14. *N*-(2-chloro-6-hydroxyphenyl)benzamide (4n)



4n

Followed **Method C**; 26 mg, 53% yield; White solid, m.p. = 126-128 °C; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.85 (s, 1H), 9.72 (s, 1H), 8.00 (d, J = 7.6 Hz, 2H), 7.58 (t, J = 7.1 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 7.15 (t, J = 8.1 Hz, 1H), 6.97 (dd, J = 8.1, 1.3 Hz, 1H), 6.89 (dd, J = 8.2, 1.3 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 155.4, 134.1, 133.2, 131.5, 128.4, 128.3, 127.8, 123.1, 119.5, 114.9; HRMS (ESI) m/z calcd for $[C_{13}H_{11}ClNO_2]^+$ $[M+H]^+$: 248.0473, found 248.0482.

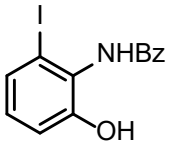
15. *N*-(2-bromo-6-hydroxyphenyl)benzamide (4o)



4o

Followed **Method C**; 29 mg, 50% yield; White solid, m.p. = 106-108 °C; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.85 (s, 1H), 9.75 (s, 1H), 8.01 (d, J = 7.5 Hz, 2H), 7.58 (t, J = 7.3 Hz, 1H), 7.52 (t, J = 7.5 Hz, 2H), 7.15–7.07 (m, 2H), 6.93 (dd, J = 8.0, 1.6 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6) δ 165.3, 155.5, 134.2, 131.5, 129.0, 128.3, 127.8, 124.5, 124.2, 122.5, 115.5; HRMS (ESI) m/z calcd for $[C_{13}H_{11}BrNO_2]^+$ $[M+H]^+$: 291.9968, found 291.9979.

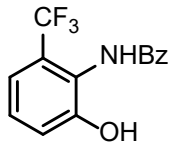
16. *N*-(2-hydroxy-6-iodophenyl)benzamide (4p)



4p

Followed **Method C**; 25 mg, 37% yield; White solid, m.p. = 151-153 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.76 (s, 1H), 9.73 (s, 1H), 8.01 (d, J = 7.1 Hz, 2H), 7.58 (t, J = 7.3 Hz, 1H), 7.52 (t, J = 7.5 Hz, 2H), 7.36–7.31 (m, 1H), 6.95–6.90 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.2, 154.6, 134.4, 131.4, 129.7, 128.6, 128.2, 127.8, 127.7, 116.2, 102.4; HRMS (ESI) m/z calcd for $[C_{13}H_{11}INO_2]$+ [M+H]+: 339.9829, found 339.9837.

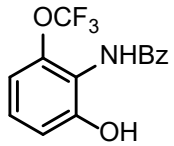
17. *N*-(2-hydroxy-6-(trifluoromethyl)phenyl)benzamide (4q)



4q

Followed **Method C**; 38 mg, 68% yield; White solid, m.p. = 135-136 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.07 (s, 1H), 9.69 (s, 1H), 7.97 (d, *J* = 7.0 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 8.0 Hz, 1H), 7.21 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.2, 155.6, 134.2, 131.4, 128.5, 128.3, 127.7, 124.7, 123.1 (d, J_{C-F} = 1.9 Hz), 122.5, 120.3, 116.1 (q, J_{C-F} = 5.1 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -59.57; HRMS (ESI) m/z calcd for $[C_{14}H_{11}F_3NO_2]^+$ $[M+H]^+$: 282.0736, found 282.0755.

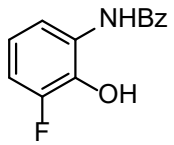
18. *N*-(2-hydroxy-6-(trifluoromethoxy)phenyl)benzamide (4r)



4r

Followed **Method C**; 40 mg, 67% yield; White solid, m.p. = 166-168 °C; R_f = 0.2 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.01 (s, 1H), 9.90 (s, 1H), 7.93 (d, *J* = 7.3 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.34 (d, *J* = 8.5 Hz, 1H), 6.82 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.7, 156.4, 143.8, 134.2, 131.6, 129.6, 128.4, 127.6, 121.5, 120.1 (d, J_{C-F} = 256.8 Hz), 114.3, 108.0; ^{19}F NMR (471 MHz, DMSO-d6): δ -56.33; HRMS (ESI) m/z calcd for $[C_{14}H_{11}F_3NO_3]^+$ $[M+H]^+$: 298.0686, found 298.0699.

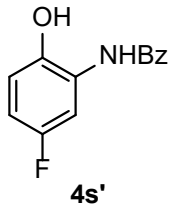
19. *N*-(3-fluoro-2-hydroxyphenyl)benzamide (4s)



4s

Followed **Method C**; 12 mg, 26% yield; White solid, m.p. = 120-122 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.86 (s, 1H), 9.43 (s, 1H), 7.96 (d, *J* = 7.0 Hz, 2H), 7.71 (dd, *J* = 10.5, 3.1 Hz, 1H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (t, *J* = 7.4 Hz, 2H), 6.93–6.83 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.7, 152.1 (d, J_{C-F} = 238.9 Hz), 138.0 (d, J_{C-F} = 14.9 Hz), 134.0, 131.8, 128.5, 127.7, 120.3 (d, J_{C-F} = 3.0 Hz), 118.7 (d, J_{C-F} = 8.2 Hz), 112.5 (d, J_{C-F} = 18.5 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -134.53; HRMS (ESI) m/z calcd for $[C_{13}H_{11}FNO_2]^+$ $[M+H]^+$: 232.0768, found 232.0769.

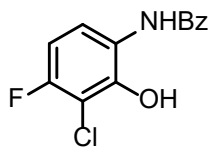
20. *N*-(5-fluoro-2-hydroxyphenyl)benzamide (4s′)



4s'

Followed **Method C**; 20 mg, 43% yield; White solid, m.p. = 200-202 ºC; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.86 (s, 1H), 9.43 (s, 1H), 7.96 (d, *J* = 7.0 Hz, 2H), 7.71 (dd, *J* = 10.5, 3.1 Hz, 1H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (t, *J* = 7.4 Hz, 2H), 6.93–6.83 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.2, 154.9 (d, J_{C-F} = 233.0 Hz), 144.9 (d, J_{C-F} = 2.2 Hz), 134.1, 131.9, 128.6, 127.5, 126.7 (d, J_{C-F} = 11.1 Hz), 115.9 (d, J_{C-F} = 9.0 Hz), 111.0 (d, J_{C-F} = 22.5 Hz), 109.7 (d, J_{C-F} = 27.4 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -124.06; HRMS (ESI) m/z calcd for $[C_{13}H_{11}FNO_2]^+$ $[M+H]^+$: 232.0768, found 232.0774.

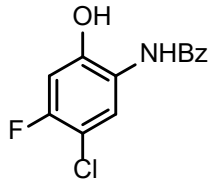
21. *N*-(3-chloro-4-fluoro-2-hydroxyphenyl)benzamide (4t)



4t

Followed **Method C**; 19 mg, 36% yield; White solid, m.p. = 166-168 ºC; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.28 (s, 1H), 9.96 (s, 1H), 8.00 (d, *J* = 7.0 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.39 (dd, *J* = 9.0, 6.1 Hz, 1H), 6.93 (t, *J* = 8.9 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.2, 156.1 (d, J_{C-F} = 243.5 Hz), 148.9 (d, J_{C-F} = 2.8 Hz), 133.8, 131.8, 128.4, 127.9, 125.0 (d, J_{C-F} = 9.6 Hz), 123.4 (d, J_{C-F} = 3.1 Hz), 108.9 (d, J_{C-F} = 19.5 Hz), 106.3 (d, J_{C-F} = 21.9 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -117.12; HRMS (ESI) m/z calcd for $[C_{13}H_{10}ClFNO_2]^+$ $[M+H]^+$: 266.0379, found 266.0376.

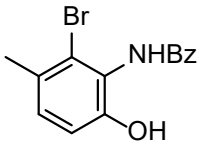
22. *N*-(5-chloro-4-fluoro-2-hydroxyphenyl)benzamide (4t')



4t'

Followed **Method C**; 30 mg, 56% yield; White solid, m.p. = 181-183 ºC; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.56 (s, 1H), 9.54 (s, 1H), 7.96 (d, *J* = 7.2 Hz, 2H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 6.91 (d, *J* = 10.7 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.4, 154.5 (d, J_{C-F} = 243.7 Hz), 150.2 (d, J_{C-F} = 10.0 Hz), 134.0, 131.8, 128.5, 127.6, 125.4, 123.3 (d, J_{C-F} = 3.0 Hz), 107.9 (d, J_{C-F} = 18.5 Hz), 104.1 (d, J_{C-F} = 23.7 Hz); ^{19}F NMR (471 MHz, DMSO-d6): δ -118.72; HRMS (ESI) m/z calcd for $[C_{13}H_{10}ClFNO_2]^+$ $[M+H]^+$: 266.0379, found 266.0377.

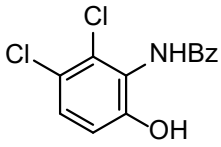
23. *N*-(2-bromo-6-hydroxy-3-methylphenyl)benzamide (4u)



4u

Followed **Method C**; 29 mg, 47% yield; White solid, m.p. = 148-150 ºC; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.72 (s, 1H), 9.55 (s, 1H), 8.01 (d, *J* = 7.1 Hz, 2H), 7.58 (t, *J* = 7.2 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 2H), 7.13 (d, *J* = 8.3 Hz, 1H), 6.84 (d, *J* = 8.3 Hz, 1H), 2.30 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 153.0, 134.4, 131.4, 129.3, 128.2, 127.8, 127.7, 126.4, 124.5, 114.9, 22.4; HRMS (ESI) m/z calcd for $[C_{14}H_{13}BrNO_2]^+$ $[M+H]^+$: 306.1234, found 306.0119.

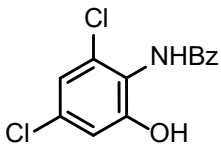
24. *N*-(2,3-dichloro-6-hydroxyphenyl)benzamide (4v)



4v

Followed **Method C**; 26 mg, 46% yield; White solid, m.p. = 156-158 ºC; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.14 (s, 1H), 9.87 (s, 1H), 8.00 (d, *J* = 7.4 Hz, 2H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.41 (d, *J* = 8.9 Hz, 1H), 6.93 (d, *J* = 8.9 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 154.2, 133.8, 131.7, 131.5, 128.6, 128.3, 127.8, 124.8, 120.9, 115.6; HRMS (ESI) m/z calcd for $[C_{13}H_{10}Cl_2NO_2]^+$ $[M+H]^+$: 282.0083, found 282.0085.

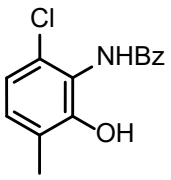
25. *N*-(2,4-dichloro-6-hydroxyphenyl)benzamide (4w)



4w

Followed **Method C**; 43 mg, 76% yield; White solid, m.p. = 160-162 ºC; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.44 (s, 1H), 9.77 (s, 1H), 8.00 (d, *J* = 7.2 Hz, 2H), 7.59 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.12 (d, *J* = 2.3 Hz, 1H), 6.95 (d, *J* = 2.3 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.4, 156.0, 134.2, 133.8, 131.8, 131.7, 128.4, 127.8, 122.7, 119.0, 114.9; HRMS (ESI) m/z calcd for $[C_{13}H_{10}Cl_2NO_2]^+$ $[M+H]^+$: 282.0083, found 282.0073.

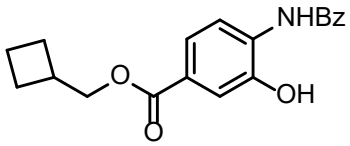
26. *N*-(6-chloro-2-hydroxy-3-methylphenyl)benzamide (4x)



4x

Followed **Method C**; 20 mg, 38% yield; White solid, m.p. = 203-205 ºC; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.93 (s, 1H), 9.50 (s, 1H), 7.99 (d, *J* = 7.0 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.30 (d, *J* = 2.1 Hz, 1H), 7.09 (d, *J* = 1.5 Hz, 1H), 2.23 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.1, 143.9, 133.8, 131.9, 129.1, 128.5, 127.8, 127.5, 126.9, 124.5, 121.4, 19.9; HRMS (ESI) m/z calcd for $[C_{14}H_{13}ClNO_2]^+$ $[M+H]^+$: 262.0629, found 262.0624.

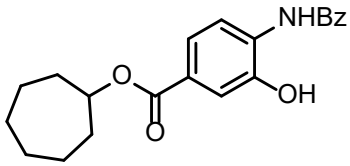
27. cyclobutylmethyl 4-benzamido-3-hydroxybenzoate (4y)



4y

Followed **Method C**; 53 mg, 81% yield; White solid, m.p. = 140-142 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.45 (s, 1H), 9.48 (s, 1H), 8.06 (d, *J* = 8.3 Hz, 1H), 7.97 (d, *J* = 7.1 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (m, 3H), 7.49 (dd, *J* = 8.4, 1.9 Hz, 1H), 4.23 (d, *J* = 6.5 Hz, 2H), 2.75–2.65 (m, 1H), 2.10–2.02 (m, 2H), 1.92–1.79 (m, 4H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.5, 165.1, 148.1, 134.2, 131.9, 130.8, 128.6, 127.5, 126.0, 122.1, 120.5, 115.7, 679, 33.7, 24.2, 18.0; HRMS (ESI) m/z calcd for $[C_{19}H_{20}NO_4]^+$ $[M+H]^+$: 326.1387, found 326.1392.

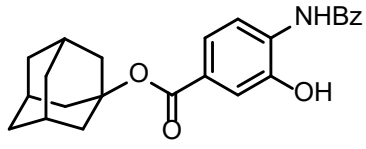
28. cycloheptyl 4-benzamido-3-hydroxybenzoate (4z)



4z

Followed **Method C**; 58 mg, 82% yield; White solid, m.p. = 132-134 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.39 (s, 1H), 9.47 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.97 (d, *J* = 7.1 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.57–7.52 (m, 3H), 7.47 (dd, *J* = 8.4, 2.0 Hz, 1H), 5.08 (tt, *J* = 8.1, 4.3 Hz, 1H), 1.97–1.90 (m, 2H), 1.80–1.73 (m, 2H), 1.70–1.64 (m, 2H), 1.60–1.54 (m, 4H), 1.52–1.46 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.1, 164.7, 148.1, 134.2, 131.9, 130.6, 128.6, 127.5, 126.5, 122.1, 120.5, 115.7, 74.8, 33.3, 27.8, 22.4; HRMS (ESI) m/z calcd for $[C_{21}H_{24}NO_4]^+$ $[M+H]^+$: 354.1700 found 354.1701.

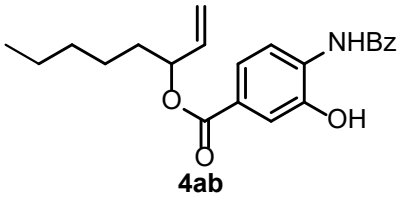
29. (3s,5s,7s)-adamantan-1-yl 4-benzamido-3-hydroxybenzoate (4aa)



4aa

Followed **Method C**; 40 mg, 51% yield; White solid, m.p. = 215-217 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.34 (s, 1H), 9.46 (s, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.97 (d, *J* = 7.0 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.48 (d, *J* = 1.9 Hz, 1H), 7.41 (dd, *J* = 8.3, 1.9 Hz, 1H), 2.19 (s, 9H), 1.67 (s, 6H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.1, 164.3, 148.0, 134.2, 131.9, 130.4, 128.6, 127.6, 127.5, 122.0, 120.4, 115.7, 80.2, 40.9, 35.7, 30.3; HRMS (ESI) m/z calcd for $[C_{24}H_{26}NO_4]^+$ $[M+H]^+$: 392.1856, found 392.1864.

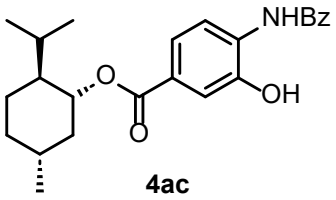
30. hept-1-en-3-yl 4-benzamido-3-hydroxybenzoate (4ab)



4ab

Followed **Method C**; 45 mg, 61% yield; White solid, m.p. = 110-112 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.41 (s, 1H), 9.48 (s, 1H), 8.06 (d, *J* = 8.3 Hz, 1H), 7.97 (d, *J* = 7.0 Hz, 2H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.57–7.53 (m, 3H), 7.50 (dd, *J* = 8.3, 2.0 Hz, 1H), 5.98–5.90 (m, 1H), 5.43–5.37 (m, 1H), 5.27 (dt, *J* = 17.3, 1.5 Hz, 1H), 5.20 (dt, *J* = 10.6, 1.4 Hz, 1H), 1.75–1.67 (m, 2H), 1.39–1.31 (m, 2H), 1.30–1.26 (m, 4H), 0.87–0.82 (m, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.1, 164.6, 148.1, 136.9, 134.1, 131.9, 130.8, 128.6, 127.5, 126.0, 122.1, 120.6, 116.2, 115.6, 74.4, 33.6, 30.9, 24.2, 21.9, 13.8; HRMS (ESI) m/z calcd for $[C_{22}H_{26}NO_4]^+$ $[M+H]^+$: 368.1856, found 368.1838.

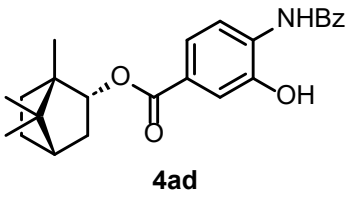
31. (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 4-benzamido-3-hydroxybenzoate (4ac)



4ac

Followed **Method C**; 72 mg, 91% yield; White solid, m.p. = 195-197 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.40 (s, 1H), 9.47 (s, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.97 (d, *J* = 7.0 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.56–7.52 (m, 3H), 7.48 (dd, *J* = 8.3, 1.9 Hz, 1H), 4.81 (td, *J* = 10.8, 4.3 Hz, 1H), 2.03–1.96 (m, 1H), 1.92–1.85 (m, 1H), 1.69–1.63 (m, 2H), 1.55–1.47 (m, 2H), 1.14–1.03 (m, 2H), 0.91–0.86 (m, 7H), 0.75 (d, *J* = 6.9 Hz, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.1, 164.9, 148.1, 134.1, 131.9, 130.8, 128.6, 127.5, 126.2, 122.0, 120.5, 115.7, 73.9, 46.7, 40.6, 33.7, 30.9, 26.2, 23.3, 21.8, 20.4, 16.5; HRMS (ESI) m/z calcd for $[C_{24}H_{30}NO_4]^+$ $[M+H]^+$: 396.2169, found 396.2155.

32. (1*S*,2*R*,4*S*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 4-benzamido-3-hydroxybenzoate (4ad)

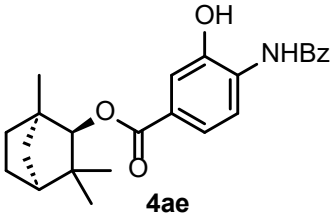


4ad

Followed **Method C**; 70 mg, 89% yield; White solid, m.p. = 195-197 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.40 (s, 1H), 9.48 (s, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.98 (d, *J* = 7.2 Hz, 2H), 7.64–7.57 (m, 2H), 7.57–7.49 (m, 3H), 5.04–4.98 (m, 1H), 2.42–2.34 (m, 1H), 2.13–2.06 (m, 1H), 1.81–1.70 (m, 2H), 1.44–1.36 (m, 1H), 1.32–1.25 (m, 1H), 1.06 (dd, *J* = 13.7, 3.5 Hz, 1H), 0.93 (s, 3H), 0.88 (d, J = 9.2 Hz, 64); ^{13}C NMR

(126 MHz, DMSO-d6): δ 165.5, 165.1, 148.1, 134.1, 131.9, 130.7, 128.6, 127.5, 126.3, 122.1, 120.5, 115.6, 79.5, 48.7, 47.5, 44.4, 36.5, 27.7, 27.0, 19.5, 18.6, 13.5; HRMS (ESI) m/z calcd for $[C_{24}H_{28}NO_4]^+$ $[M+H]^+$: 394.2013, found 394.2015.

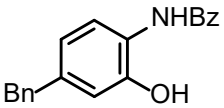
33. (1*R*,2*R*,4*S*)-1,3,3-trimethylbicyclo[2.2.1]heptan-2-yl 4-benzamido-3-hydroxybenzoate (4ae)



4ae

Followed **Method C**; 60 mg, 76% yield; White solid, m.p. = 202-204 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.40 (s, 1H), 9.49 (s, 1H), 8.05 (d, *J* = 8.4 Hz, 1H), 7.98 (d, *J* = 7.1 Hz, 2H), 7.64–7.58 (m, 2H), 7.57–7.50 (m, 3H), 4.50 (d, *J* = 1.8 Hz, 1H), 1.94–1.87 (m, 1H), 1.76–1.69 (m, 2H), 1.69–1.65 (m, 1H), 1.54–1.46 (m, 1H), 1.25–1.16 (m, 2H), 1.13 (s, 3H), 1.07 (s, 3H), 0.78 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.7, 165.2, 148.2, 134.1, 1322.0, 130.8, 128.6, 127.5, 126.1, 122.3, 120.5, 115.7, 85.7, 48.1, 47.8, 40.8, 39.4, 29.5, 26.5, 25.5, 20.1, 19.3; HRMS (ESI) m/z calcd for $[C_{24}H_{28}NO_4]^+$ $[M+H]^+$: 394.2013, found 394.2006.

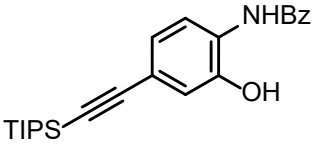
34. *N*-(4-benzyl-2-hydroxyphenyl)benzamide (4af)



4af

Followed **Method D**; 52mg, 86% yield; White solid, m.p. = 155-157 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.66 (s, 1H), 9.50 (s, 1H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.57 (dd, *J* = 7.7, 3.1 Hz, 2H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 2H), 7.26–7.17 (m, 3H), 6.77–6.74 (m, 1H), 6.74–6.70 (m, 1H), 3.87 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 149.4, 141.3, 139.0, 134.4, 131.6, 128.7, 128.5, 128.4, 127.5, 126.0, 124.2, 123.9, 119.4, 116.3, 40.7; HRMS (ESI) m/z calcd for $[C_{20}H_{18}NO_2]^+$ $[M+H]^+$: 304.1332, found 304.1327.

35. *N*-(2-hydroxy-4-((triisopropylsilyl)ethynyl)phenyl)benzamide (4ag)

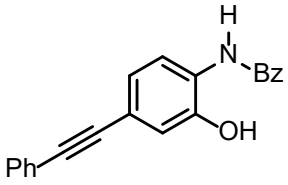


4ag

Followed **Method D**; 70 mg, 89% yield; White solid, m.p. = 192-194 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.20 (s, 1H), 9.48 (s, 1H), 7.97 (d, *J* = 7.3 Hz, 2H), 7.86 (d, *J* = 8.2 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 7.01 (d, *J* = 1.8 Hz, 1H), 6.97 (dd, *J* = 8.2, 1.9 Hz, 1H), 1.09 (s, 21H); ^{13}C NMR (126 MHz, DMSO-d6): δ

165.1, 148.5, 134.2, 131.8, 128.6, 127.5, 127.3, 123.1, 122.9, 118.7, 118.4, 107.4, 88.8, 18.5, 10.8. HRMS (ESI) m/z calcd for $[C_{24}H_{32}NO_2Si]^+$ $[M+H]^+$: 394.2197, found 394.2192.

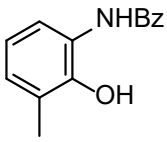
36. *N*-(2-hydroxy-4-(phenylethynyl)phenyl)benzamide (4ah)



4ah

Followed **Method D**; 31 mg, 50% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 10.27 (s, 1H), 9.51 (s, 1H), 8.01-7.95 (m, 2H), 7.88 (d, J = 8.1 Hz, 1H), 7.61 (t, J = 7.3 Hz, 1H), 7.57-7.52 (m, 4H), 7.42 (dd, J = 5.1, 2.1 Hz, 3H), 7.10-7.04 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.2, 148.7, 134.3, 131.9, 131.4, 128.8, 128.7, 128.6, 127.5, 127.1, 123.5, 122.7, 122.4, 118.7, 118.0, 89.5, 88.6; HRMS (ESI) m/z calcd for [C21H16NO2]+ [M+H]+:314.1176, found 314.1178.

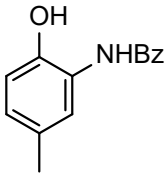
37. *N*-(2-hydroxy-3-methylphenyl)benzamide (4ai)



4ai

Followed **Method D**; 14 mg, 31% yield; White solid, m.p. = 110-112 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.01 (s, 1H), 8.98 (s, 1H), 8.01 (d, J = 7.0 Hz, 2H), 7.61 (d, J = 7.4 Hz, 1H), 7.55 (t, J = 7.5 Hz, 2H), 7.31 (dd, J = 8.0, 1.6 Hz, 1H), 7.01 (ddd, J = 7.5, 1.7, 0.9 Hz, 1H), 6.79 (t, J = 7.7 Hz, 1H), 2.22 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.3, 147.9, 133.9, 131.5, 128.5, 127.8, 127.7, 126.5, 126.0, 122.6, 119.2, 16.5; HRMS (ESI) m/z calcd for $[C_{14}H_{14}NO_2]^+$ $[M+H]^+$: 228.1019, found 228.1016.

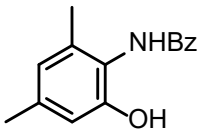
38. *N*-(2-hydroxy-5-methylphenyl)benzamide (4ai')



4ai'

Followed **Method D**; 26 mg, 57% yield; White solid, m.p. = 177-179 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.48 (d, J = 4.7 Hz, 2H), 7.97 (d, J = 7.0 Hz, 2H), 7.59 (d, J = 7.4 Hz, 1H), 7.55–7.51 (m, 3H), 6.86–6.80 (m, 2H), 2.23 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.2, 147.0, 134.4, 131.7, 128.5, 127.7, 127.5, 126.1, 125.6, 124.4, 115.9, 20.3; HRMS (ESI) m/z calcd for $[C_{14}H_{14}NO_2]^+$ $[M+H]^+$: 228.1019, found 228.1016.

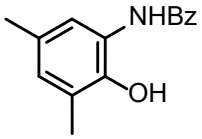
39. *N*-(2-hydroxy-4,6-dimethylphenyl)benzamide (4aj)



4aj

Followed **Method D**; 28 mg, 58% yield; White solid, m.p. = 202-204 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.82 (s, 1H), 8.29 (s, 1H), 7.98 (d, *J* = 7.4 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.51 (t, *J* = 7.4 Hz, 2H), 6.92 (d, *J* = 8.0 Hz, 1H), 6.73 (d, *J* = 7.9 Hz, 1H), 2.19 (s, 3H), 2.06 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.3, 153.3, 135.0, 134.7, 131.4, 128.4, 127.6, 127.0, 122.3, 121.6, 118.1, 16.7, 11.6; HRMS (ESI) m/z calcd for $[C_{15}H_{16}NO_2]^+$ $[M+H]^+$: 242.1176, found 242.1173.

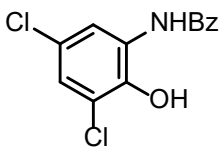
40. *N*-(2-hydroxy-3,5-dimethylphenyl)benzamide (4ak)



4ak

Followed **Method D**; 37 mg, 77% yield; White solid, m.p. = 180-182 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.98 (s, 1H), 8.75 (s, 1H), 8.00 (d, *J* = 7.3 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.14 (d, *J* = 2.2 Hz, 1H), 6.82 (d, *J* = 2.2 Hz, 1H), 2.20 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.2, 145.5, 133.9, 131.9, 128.5, 128.3, 127.8, 127.8, 126.3, 125.8, 122.6, 20.2, 16.5; HRMS (ESI) m/z calcd for $[C_{15}H_{16}NO_2]^+$ $[M+H]^+$: 242.1176, found 242.1173.

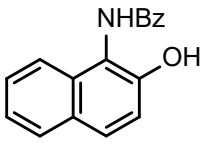
41. *N*-(3,5-dichloro-2-hydroxyphenyl)benzamide (4al)



4al

Followed **Method D**; 41 mg, 73% yield; White solid, m.p. = 180-182 °C; R_f = 0.4 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.11 (s, 1H), 9.94 (s, 1H), 7.99 (d, *J* = 7.0 Hz, 2H), 7.65–7.60 (m, 2H), 7.55 (t, *J* = 7.6 Hz, 2H), 7.40 (d, *J* = 2.6 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.0, 145.4, 133.7, 132.1, 128.7, 128.5, 127.8, 125.6, 123.5, 122.6, 122.4; HRMS (ESI) m/z calcd for $[C_{13}H_{11}Cl_2NO_2]^+$ $[M+H]^+$: 282.0083, found 282.0083.

42. *N*-(2-hydroxynaphthalen-1-yl)benzamide (4am)

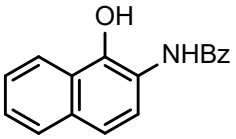


4am

Followed **Method D**; 26mg, 49% yield; White solid, m.p. = 207-209 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.90 (s, 1H), 9.64 (s, 1H), 8.12 (d, *J* = 6.9 Hz, 2H), 7.84 (d, *J* = 8.1 Hz, 1H), 7.78 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.62 (t, *J* = 7.3 Hz, 1H), 7.56 (t, *J* = 7.4 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 7.4 Hz, 1H), 7.26 (d, *J* = 8.9 Hz, 1H); ^{13}C NMR (126 MHz,

DMSO-d6): δ 166.1, 151.1, 134.5, 132.1, 131.5, 128.3, 128.1, 128.1, 127.9, 127.8, 126.3, 122.8, 122.1, 118.8, 116.8; HRMS (ESI) m/z calcd for $[C_{17}H_{14}NO_2]^+$ $[M+H]^+$: 264.1019, found 264.1012.

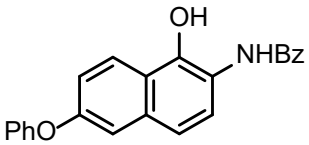
43. *N*-(1-hydroxynaphthalen-2-yl)benzamide (4an)



4an

Followed **Method D**; 32 mg, 61% yield; White solid, m.p. = 135-137 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.42 (s, 1H), 9.84 (s, 1H), 8.29–8.23 (m, 1H), 8.10 (d, *J* = 7.1 Hz, 2H), 7.88–7.83 (m, 1H), 7.64 (t, *J* = 7.3 Hz, 1H), 7.59–7.45 (m, 6H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.6, 144.8, 133.7, 132.3, 132.0, 128.5, 128.1, 127.3, 126.2, 125.9, 125.3, 124.5, 122.3, 120.1, 119.1; HRMS (ESI) m/z calcd for $[C_{17}H_{14}NO_2]^+$ $[M+H]^+$: 264.1019, found 264.1019.

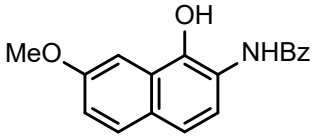
44. *N*-(1-hydroxy-6-phenoxynaphthalen-2-yl)benzamide (4ao)



4ao

Followed **Method D**; 58 mg, 82% yield; White solid, m.p. = 204-206 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.39 (s, 1H), 9.91 (s, 1H), 8.29 (d, *J* = 9.2 Hz, 1H), 8.09 (d, *J* = 7.2 Hz, 2H), 7.63 (t, *J* = 7.3 Hz, 1H), 7.57 (d, *J* = 7.7 Hz, 2H), 7.50 (d, *J* = 8.8 Hz, 1H), 7.45–7.41 (m, 2H), 7.37–7.34 (m, 2H), 7.26 (dd, *J* = 9.1, 2.4 Hz, 1H), 7.18 (t, *J* = 7.4 Hz, 1H), 7.10 (d, *J* = 7.7 Hz, 2H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.6, 156.6, 154.8, 145.2, 133.7, 133.5, 132.0, 130.2, 128.5, 128.1, 125.5, 124.9, 123.7, 122.8, 119.3, 118.9, 118.8, 118.4, 113.4; HRMS (ESI) m/z calcd for $[C_{23}H_{18}NO_3]^+$ $[M+H]^+$: 356.1281, found 356.1280.

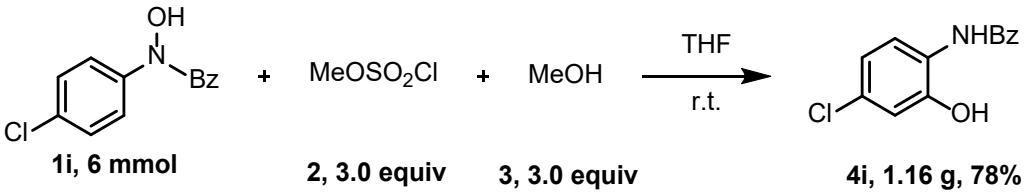
45. *N*-(1-hydroxy-7-methoxynaphthalen-2-yl)benzamide (4ap)



4ap

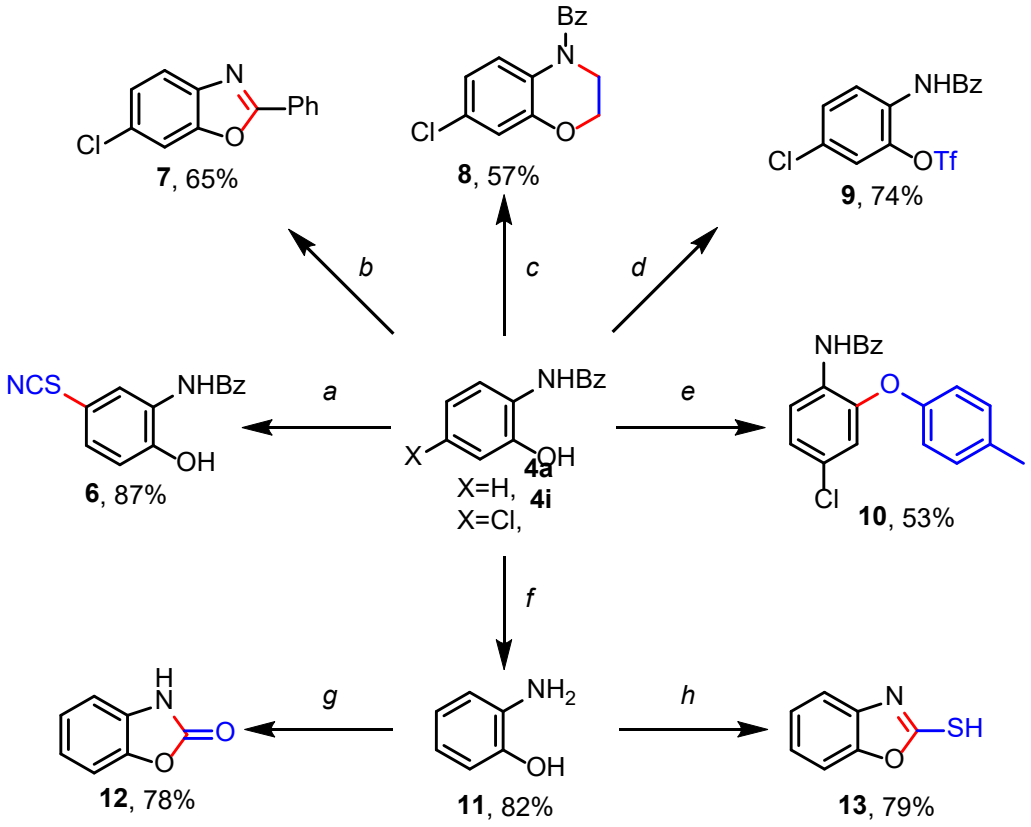
Followed **Method D**; 34 mg, 58% yield; White solid, m.p. = 175-177 °C; R_f = 0.3 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 10.40 (s, 1H), 9.70 (s, 1H), 8.09 (d, *J* = 7.2 Hz, 2H), 7.78 (d, *J* = 8.9 Hz, 1H), 7.64 (t, *J* = 7.3 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 3H), 7.39 (s, 2H), 7.15 (dd, *J* = 8.9, 2.6 Hz, 1H), 3.90 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 166.6, 157.1, 143.8, 133.7, 132.0, 129.1, 128.5, 128.0, 127.7, 127.2, 121.7, 120.8, 119.0, 118.1, 100.9, 55.1; HRMS (ESI) m/z calcd for $[C_{18}H_{16}NO_3]^+$ $[M+H]^+$: 294.1125, found 294.1121.

Experimental procedure for gram scale reaction



To a solution of **1i** (6 mmol, 1.0 equiv) and **2** (18 mmol, 3.0 equiv) in THF (12 mL) was slowly added 3 (18 mmol, 3.0 equiv) and the reaction was stirred at room temperature for 2 h until **1i** was completely consumed, which was monitored by TLC analysis. The reaction mixture was evaporated under reduced pressure and purified by column chromatography to give the desired product **4i**.

Synthetic applications



(a) General Procedure for the Synthesis of 6.[4]

To a solution of NH_4SCN (137 mg, 1.8mmol), $FeCl_3$ (97.3 mg, 0.6 mmol), and 2 mL DCM at

room temperature. The color of the mixture turned dark red quickly. $Fe(SCN)_3$ can be observed as dark red solid after complete reaction.

To a solution of **4a** (43 mg, 0.2 mmol, 1.0 equiv) and $Fe(SCN)_3$ (0.6 mmol, 3.0 equiv)in DCM (2 mL) at room temperature. The mixture was stirred at 40 °C within 4 h. TLC was utilized for detecting the reaction till the total consumption of the starting materials. The reaction mixture was evaporated under reduced pressure and purified by column chromatography to give the desired product **6**(47 mg, 87%).

(b) General Procedure for the Synthesis of 7.[5]

To a 5 mL sealed-tube were added **4i** (25 mg, 0.1 mmol, 1.0 equiv), *p*-TsOH•H_2O (80 mg, 0.5 mmol, 5.0 equiv) and 2 mL of p-xylene at room temperature. The tube was sealed and heated at 140 °C for 12 h. The mixture was extracted with dichloromethane, the organic layer was dried over sodium sulfate. After the solvent was removed in vacuo, the crude product was purified by flash column chromatography to obtain **7** (15 mg, 65%).

(c) General Procedure for the Synthesis of 8.[6]

A mixture of **4i** (50 mg, 0.2 mmol, 1.0 equiv), powdered sodium hydroxide (32 mg, 0.8 mmol, 4.0 equiv), 1,2-dibromoethane (150 mg, 0.8 mmol, 4.0 equiv), Tetrabutylammonium iodide (7 mg, 0.02 mmol, 10 mol%), acetonitrile (0.6 mL) and CH_2Cl_2 (0.9 mL) was stirred under nitrogen at room temperature for 24 h; then sodium hydroxide (8 mg, 0.2 mmol, 1.0 equiv) was added and the reaction continued for 2 h. The reaction mixture was filtered and washed with ether. The filtrate was evaporated under reduced pressure to remove the solvent and purified by column chromatography to obtain the desired product **8**(31 mg, 57%).

(d) General Procedure for the Synthesis of 9.[1g]

To a mixture of **4i** (25 mg, 0.1 mmol, 1.0 equiv) and Et_3N (28 μL, 0.20mmol, 2.0 equiv) in $CHCl_3$ (1.5 mL), Tf_2O (34 μL, 0.20mmol, 2.0 equiv) was added dropwise at 0 °C under N_2. The system was stirred at room temperature for 12 h. The resulting mixture was evaporated under reduced pressure and purified by flash column chromatography to give triflate product **9**(28 mg, 74%).

(e) General Procedure for the Synthesis of 10.[1g]

To a mixture of **4i** (25 mg, 0.1 mmol, 1.0 equiv), 4 Å MS (50 mg), $Cu(OAc)_2$ (20 mg, 0.10 mmol, 1.0 equiv)and 4-tolylboronic acid (27 mg, 0.20 mmol，2.0 equiv) in CH_2Cl_2 (2 mL),

Et_3N(69 μL, 0.50 mmol, 5.0 equiv) was added. The system was stirred at room temperature for 12 h under air. The resulting mixture was filtered on celite, evaporated under reduced pressure. The crude residue was purified by flash column chromatography to give product **10**(18 mg, 53%).

(f) General Procedure for the Synthesis of 11.[5]

To a 5 ml sealed-tube were added **4a**(43 mg, 0.2 mmol, 1.0 equiv) and 2 ml of $NH_2NH_2•H_2O$ at room temperature. The tube was sealed and heated at 100 ºC for 3 h. Then the resulting mixture was allowed to cool to room temperature and neutralized with concentrated HCl to pH = 7. Then the mixture was extracted with ethyl acetate, the organic layer was dried over anhydrous Na_2SO_4 and concentrated on rotavapor under reduced pressure. Finally, the residue was purified by silica gel column chromatography to give the desired product **11**(18 mg, 82%).

(g) General Procedure for the Synthesis of 12.[7]

To a mixture of **11** (22 mg, 0.20 mmol, 1.0 equiv), and urea (18 mg, 0.30 mmol, 1.5 equiv) in DMF (1 mL), Then the reactor was heated to 150 °C and kept for 3 h. Then the mixture was extracted with ethyl acetate, the organic layer was dried over anhydrous Na_2SO_4 and concentrated on rotavapor under reduced pressure. Finally, the residue was purified by silica gel column chromatography to give the desired product **12**(21 mg, 78%).

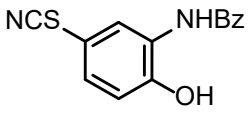
(h) General Procedure for the Synthesis of 13.[8]

11 (22 mg, 0.20mmol, 1.0 equiv), carbon disulfide (12 μL, 0.24mmol, 1.2 equiv) in DMSO (2 mL) was added in a 5 mL glass tube, which was stirred at 70 ºC for 6 h. When the reaction was completed, it was mixed with water and ether. The mixture was extracted with ether, the organic layer was washed by brine and dried over sodium sulfate. After the solvent was removed in vacuo, the crude product was purified by flash column chromatography to obtain **13** (24 mg, 79%).

The experimental data are in accordance with the literature reports:**6**[4], **11**[3], **12**[8], **13**[9]

Analytical data of synthetic application products

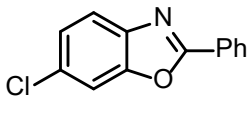
1. *N*-(2-hydroxy-5-thiocyanatophenyl)benzamide (6)[4]



6

47 mg, 87% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 10.71 (s, 1H), 9.57 (s, 1H), 8.13 (d, *J* = 2.6 Hz, 1H), 7.98 (d, *J* = 7.5 Hz, 2H), 7.61 (t, *J* = 7.2 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.37 (dd, *J* = 8.5, 2.5 Hz, 1H), 7.06 (d, *J* = 8.5 Hz, 1H).

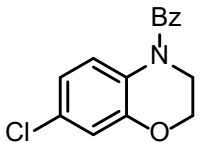
2. 6-chloro-2-phenylbenzo[*d*]oxazole (7)



7

15 mg, 65% yield; White solid, m.p. = 83-85 ºC; R_f = 0.6 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 8.20–8.15 (m, 2H), 8.04–7.93 (m, 1H), 7.85–7.79 (m, 1H), 7.67–7.60 (m, 3H), 7.48–7.43 (m, 1H); ^{13}C NMR (126 MHz, DMSO-d6): δ 163.1, 150.6, 140.5, 132.2, 129.7, 129.4, 127.3, 126.0, 125.3, 120.8, 111.5; HRMS (ESI) m/z calcd for $[C_{13}H_9ClNO]^+$ $[M+H]^+$: 230.0367, found 230.0364.

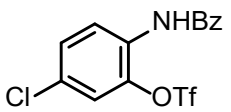
3. (7-chloro-2,3-dihydro-4*H*-benzo[*b*][1,4]oxazin-4-yl)(phenyl)methanone (8)



8

31 mg, 57% yield; White solid, m.p. = 157-159 ºC; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 7.52–7.44 (m, 3H), 7.42–7.37 (m, 2H), 6.92 (d, *J* = 2.4 Hz, 1H), 6.66 (d, *J* = 8.9 Hz, 1H), 4.35 (t, *J* = 4.7 Hz, 2H), 3.98 (t, *J* = 4.7 Hz, 2H); ^{13}C NMR (126 MHz, Chloroform-d): δ 146.7, 134.8, 130.9, 130.4, 128.6, 128.4, 125.2, 120.2, 117.3, 66.5; HRMS (ESI) m/z calcd for $[C_{15}H_{13}ClNO_2]^+$ $[M+H]^+$: 274.0629, found 274.0627.

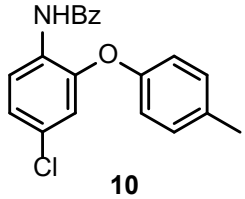
4. 2-benzamido-5-chlorophenyl isopropylcarbamate (9)



9

28 mg, 74% yield; White solid, m.p. = 112-114 ºC; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, Chloroform-d): δ 8.46 (d, *J* = 8.9 Hz, 1H), 8.11 (s, 1H), 7.89 (d, *J* = 7.2 Hz, 2H), 7.63 – 7.58 (m, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 7.42 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.35 (d, *J* = 2.3 Hz, 1H); ^{13}C NMR (126 MHz, Chloroform-d): δ 165.5, 138.9, 133.6, 132.8, 130.1, 129.8, 129.6, 129.2, 127.2, 124.5, 122.3, 118.6 (d, *J* = 320.5 Hz); HRMS (ESI) m/z calcd for $[C_{21}H_{20}NO_2]^+$ $[M+H]^+$: 379.9966, found 379.9971.

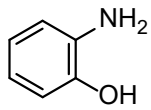
5. *N*-(4-chloro-2-(p-tolyloxy)phenyl)benzamide (10)



10

18 mg, 53% yield; White solid, m.p. = 99-101 °C; R_f = 0.5 (PE:EtOAc = 3:1); ^{1}H NMR (500 MHz, DMSO-d6): δ 9.89 (s, 1H), 7.85 (d, *J* = 7.3 Hz, 2H), 7.77 (d, *J* = 8.6 Hz, 1H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.24–7.18 (m, 3H), 6.96 (d, *J* = 8.5 Hz, 2H), 6.87 (d, *J* = 2.3 Hz, 1H), 2.27 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d6): δ 165.4, 153.5, 151.1, 134.1, 133.3, 131.7, 130.4, 129.6, 128.4, 128.0, 127.6, 123.0, 118.9, 117.9, 20.3; HRMS (ESI) m/z calcd for $[C_{20}H_{17}ClNO_2]^+$ $[M+H]^+$: 338.0942, found 338.0930.

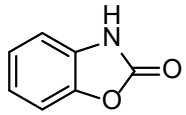
6. 2-aminophenol (11)[3]



11

18 mg, 82% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 8.91 (s, 1H), 6.63 (dd, *J* = 7.7, 1.4 Hz, 1H), 6.57 (dd, *J* = 7.7, 1.8 Hz, 1H), 6.53 (td, *J* = 7.4, 1.4 Hz, 1H), 6.38 (td, *J* = 7.5, 1.7 Hz, 1H), 4.45 (s, 2H).

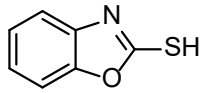
7. benzo[*d*]oxazol-2(3*H*)-one (12)[10]



12

21 mg, 78% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 11.59 (s, 1H), 7.27 (d, *J* = 7.9 Hz, 1H), 7.16–7.12 (m, 1H), 7.09–7.05 (m, 2H).

8. benzo[*d*]oxazole-2-thiol (13)[9]



13

24 mg, 79% yield; White solid; ^{1}H NMR (500 MHz, DMSO-d6): δ 13.86 (s, 1H), 7.49 (dd, J = 8.0, 1.3 Hz, 1H), 7.31–7.22 (m, 3H).

References

[1] a) H. Yuan, L. Guo, F. Liu, Z. Miao, L. Feng, H. Gao, *ACS Catal.* **2019**, *9*, 3906-3912; b) H.-Y. Chuang, M. Schupp, R. Meyrelles, B. Maryasin, N. Maulide, *Angew. Chem. Int. Ed.* **2021**, *60*, 13778-13782; c) W.-Y. Fang, G.-F. Zha, C. Zhao, H.-L. Qin, *Chem. Commun.* **2019**, *55*, 6273-6276; d) Z. Zhang, J. Luo, H. Gao, *Org. Lett.* **2021**, *23*, 9332-9336; e) Y. Du, Z. Xi, L. Guo, H. Lu, L. Feng, H. Gao, *Tetrahedron Lett.* **2021**, *72*, 153074; f) Z. Xi, X.-J. Liu, Z. Guo, Z. Gao, Z.-X. Yu, H. Gao, *Nat. Synth.* **2023**, *2*, 778-788; g) X. Liu, J. Pei, Z. Gao, H. Gao, *Org. Lett.* **2022**, *24*, 7690-7695; h) M. Wang, X. Liu, L. Wang, H. Lu, H. Gao, *Asian J. Org. Chem.* **2022**, *11*, e202200054.

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[3] N. Khatun, S. Guin, S. K. Rout, B. K. Patel, *RSC Adv.* **2014**, *4*, 10770-10778.
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[9] W. Chen, Y.-j. Huang, S. R. Gundala, H. Yang, M. Li, P. C. Tai, B. Wang, *Bioorg. Med. Chem.* **2010**, *18*, 1617-1625.
[10] H. Song, Z. Han, C. Zhang, *Chem. Eur. J.* **2019**, *25*, 10907-10912.

X-ray crystal structure data

Suitable crystal of compound **4u** were obtained by slowly evaporating a mixture of THF and hexane solution at ambient temperature. Single crystal was chosen under an optical microscope and quickly coated with high vacuum grease (Dow Corning Corporation) to prevent decomposition. Intensity data and cell parameters were recorded at 298 K on a Bruker Apex II single crystal diffractometer, employing a Cu K_α radiation (λ = 1.54178 Å) and a CCD area detector. The raw frame data were processed using SAINT and SADABS to yield the reflection data file.[1] The structure was solved using the charge-flipping algorithm, as implemented in the program *SUPERFLIP*[2] and refined by full-matrix least-squares techniques against F_o[2] using the SHELXL program[3] through the OLEX2 interface. [4] Hydrogen atoms at carbon were placed in calculated positions and refined isotropically by using a riding model. Appropriate restraints or constraints were applied to the geometry and the atomic displacement parameters of the atoms in the cluster. All structures were examined using the Addsym subroutine of PLATON[5] to ensure that no additional symmetry could be applied to the models. **CCDC 2311133 (4u)** contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre.

References

1. *APEX3*, *SAINT* and *SADABS*. Bruker AXS Inc., Madison, Wisconsin, USA, **2015**.
2. Palatinus, L.; Chapuis, G., SUPERFLIP – a computer program for the solution of crystal structures by charge flipping in arbitrary dimensions. *J. Appl. Crystallogr.* **2007**, *40*, 786-790.
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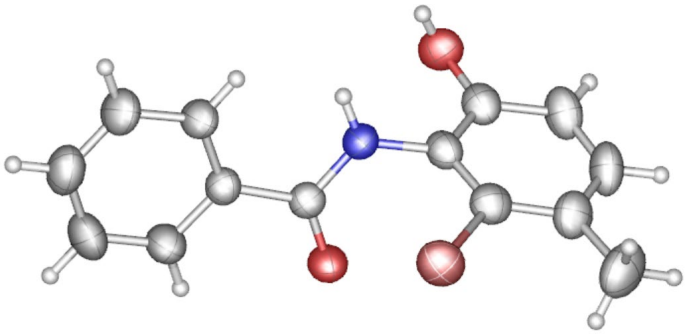
4. Dolomanov, O. V.; Bourhis, L. J.; Gildea, R. J.; Howard, J. A. K.; Puschmann, H., OLEX2: a complete structure solution, refinement and analysis program. *J. Appl. Crystallogr.* **2009**, *42*, 339-341.
5. Spek, A. L., Structure validation in chemical crystallography. *Acta. Crystallogr. Sect. D.* **2009**, *65*, 148-155.

Crystal data and structure refinement for 4u (Thermal ellipsoids at the 30% probability level)



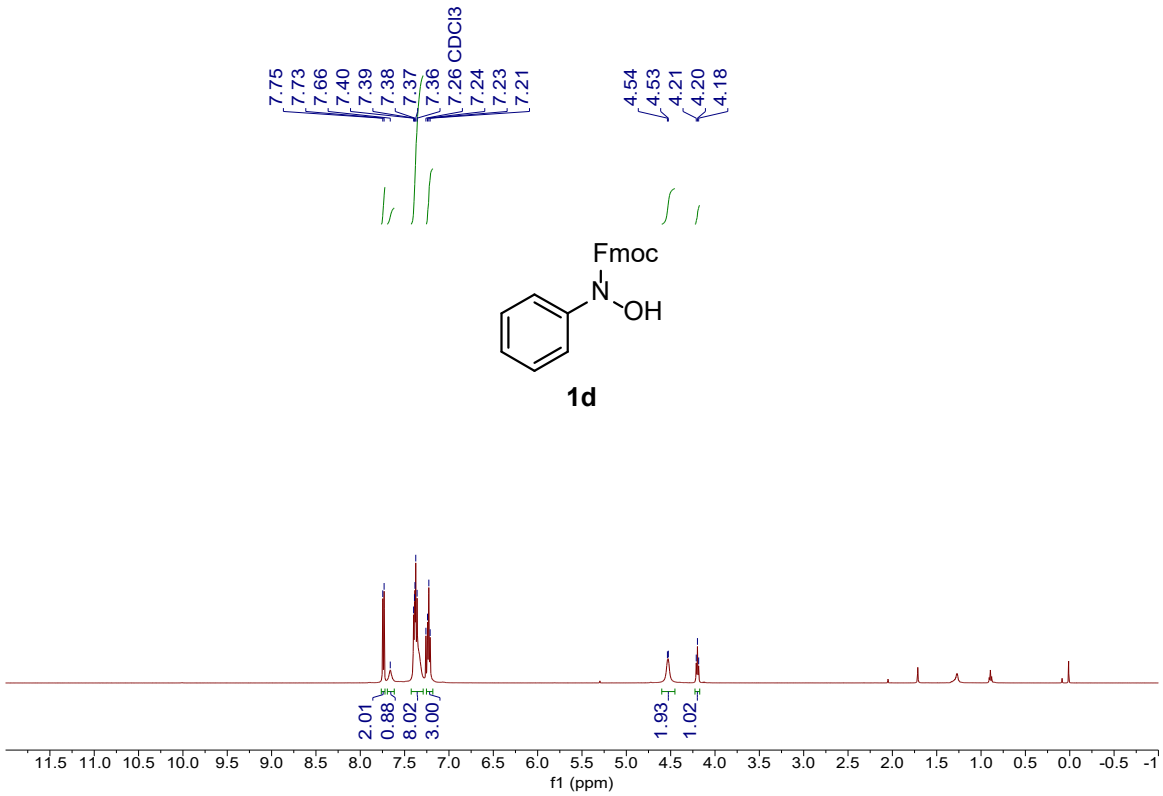
CCDC: 2311133

Identification code	**4u**
Empirical formula	$C_{14}H_{12}BrNO_2$
Formula weight	306.16
Temperature/K	298.0
Crystal system	monoclinic
Space group	Cc
a/Å	9.9951(10)
b/Å	17.872(2)
c/Å	8.3591(9)
α/°	90
β/°	122.348(5)
γ/°	90
Volume/Å^3	1261.5(2)
Z	4
ρ_{calc}g/cm^3	1.612
μ/mm^{-1}	4.391
F(000)	616.0
Crystal size/mm^3	0.18 × 0.15 × 0.12
Radiation	CuKα (λ = 1.54178)

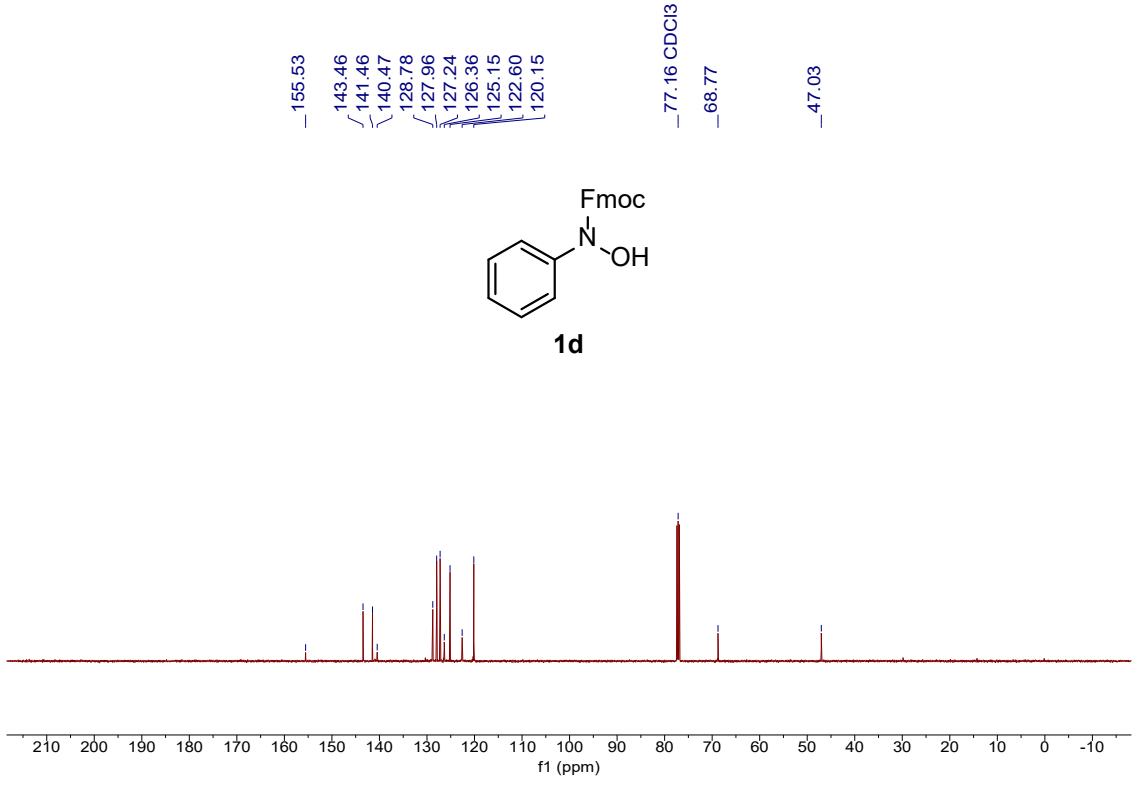
2Θrange for data collection/°	9.898 to 132.986
Index ranges	$-7 \leq h \leq 11$, $-21 \leq k \leq 21$, $-9 \leq l \leq 5$
Reflections collected	5234
Independent reflections	1409 [R_{int} = 0.0892, R_{sigma} = 0.0792]
Data/restraints/parameters	1409/2/166
Goodness-of-fit on F^2	1.175
Final R indexes [I>=2σ(I)]	R_1 = 0.0656, wR_2 = 0.1406
Final R indexes [all data]	R_1 = 0.0661, wR_2 = 0.1415
Largest diff. peak/hole / e $Å^{-3}$	0.96/-0.89
Flack parameter	0.09(4)

NMR spectra

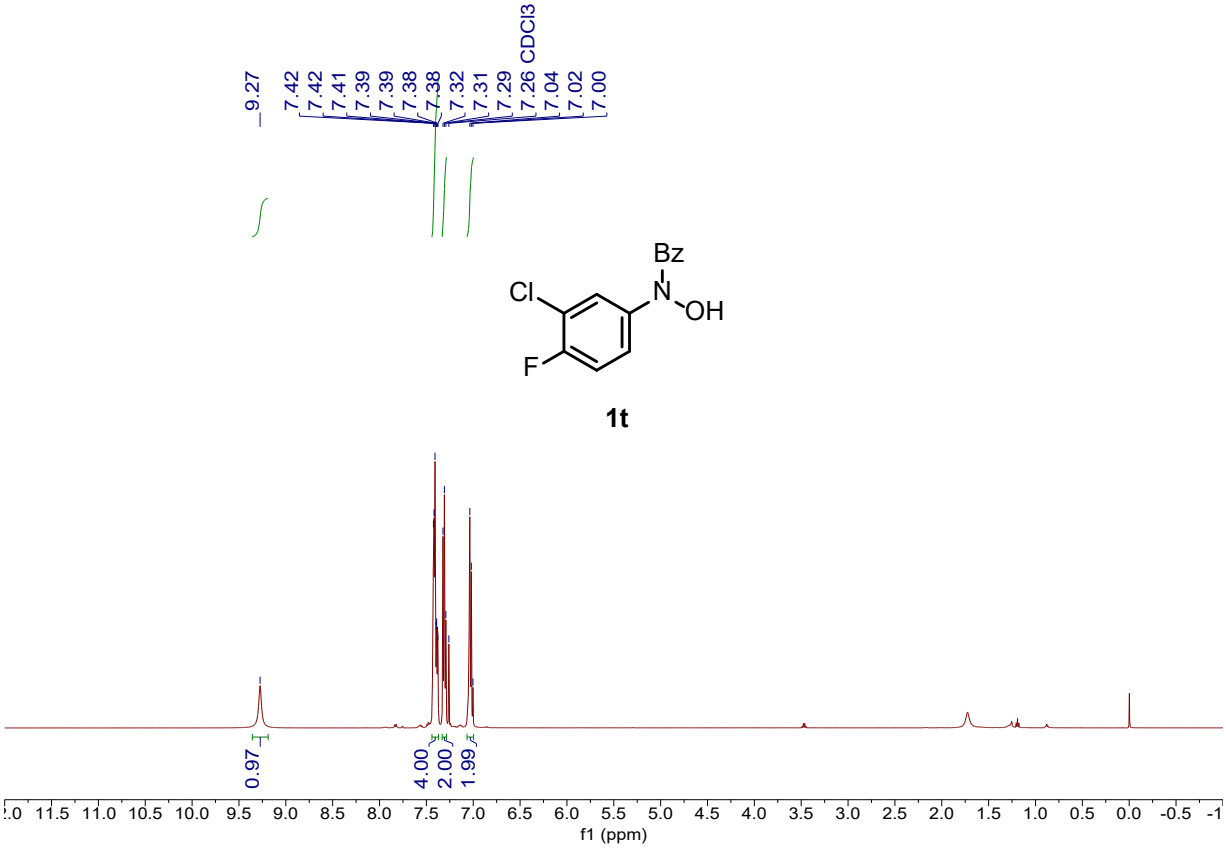
^{1}H NMR of Compound 1d (500 MHz, $CDCl_3$)



^{13}C NMR of Compound 1d (126 MHz, $CDCl_3$)

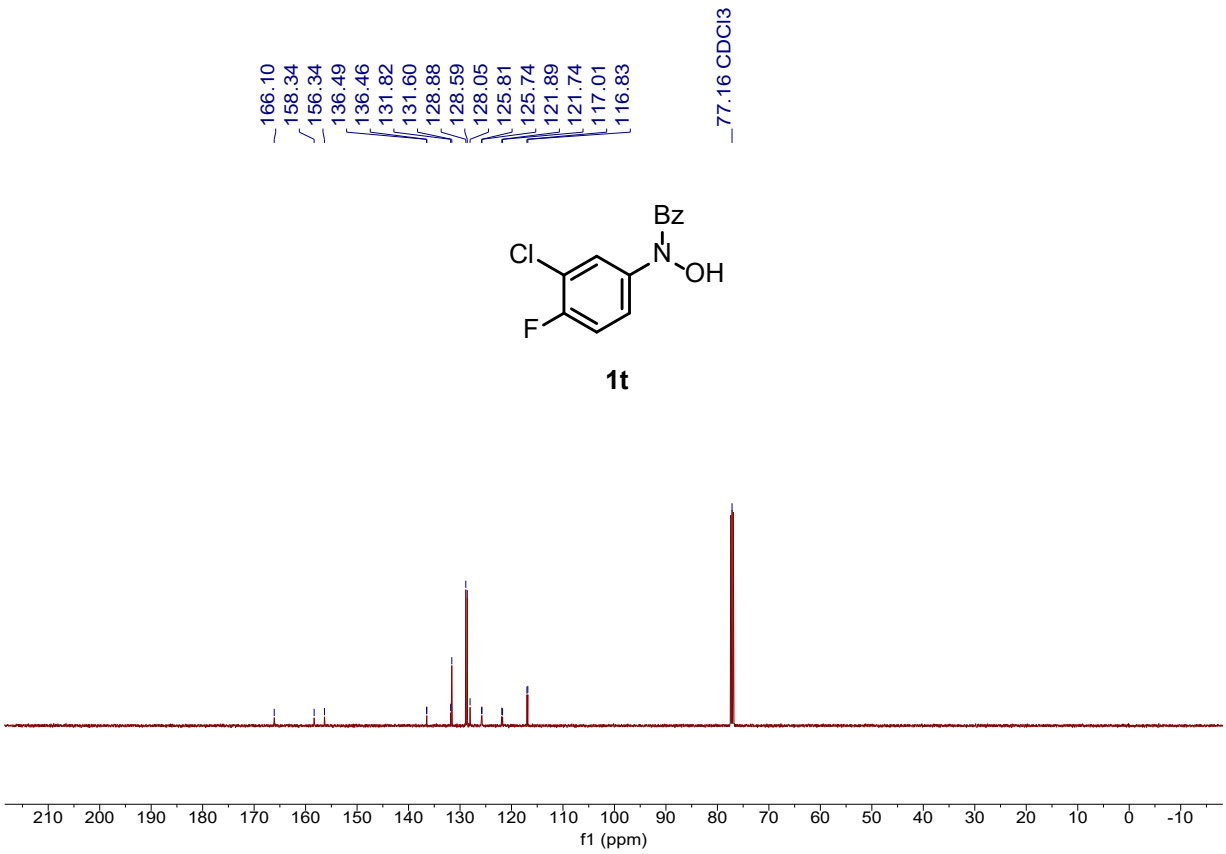


^{1}H NMR of Compound 1t (500 MHz, $CDCl_3$)



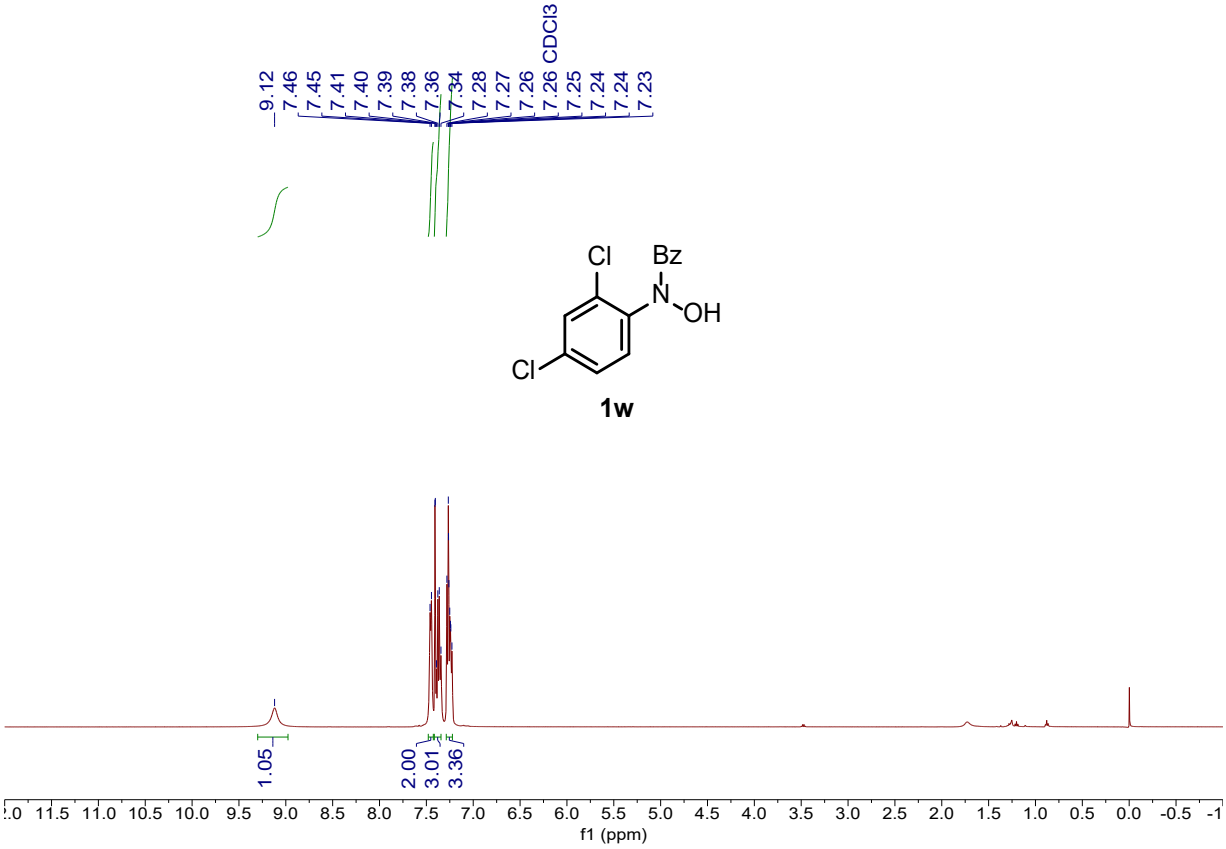
1t

^{13}C NMR of Compound 1t (126 MHz, $CDCl_3$)

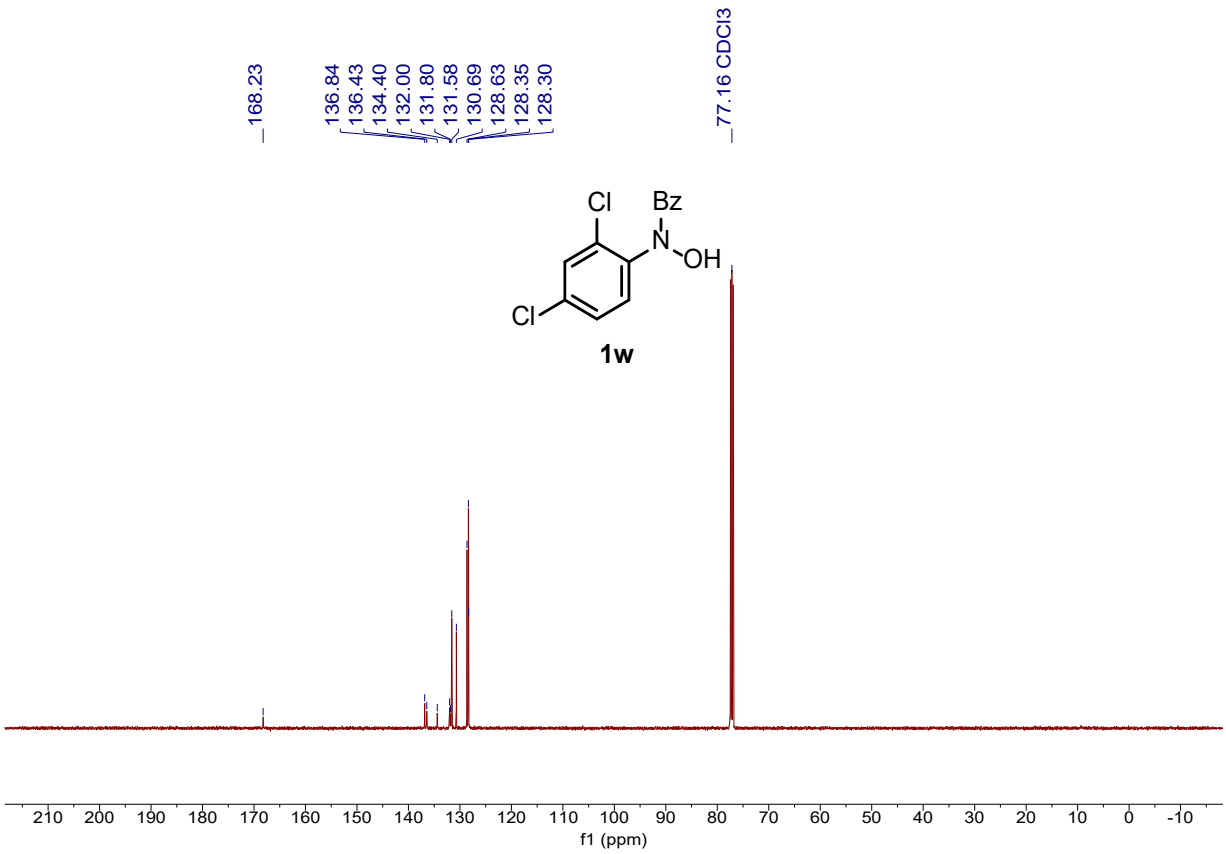


1t

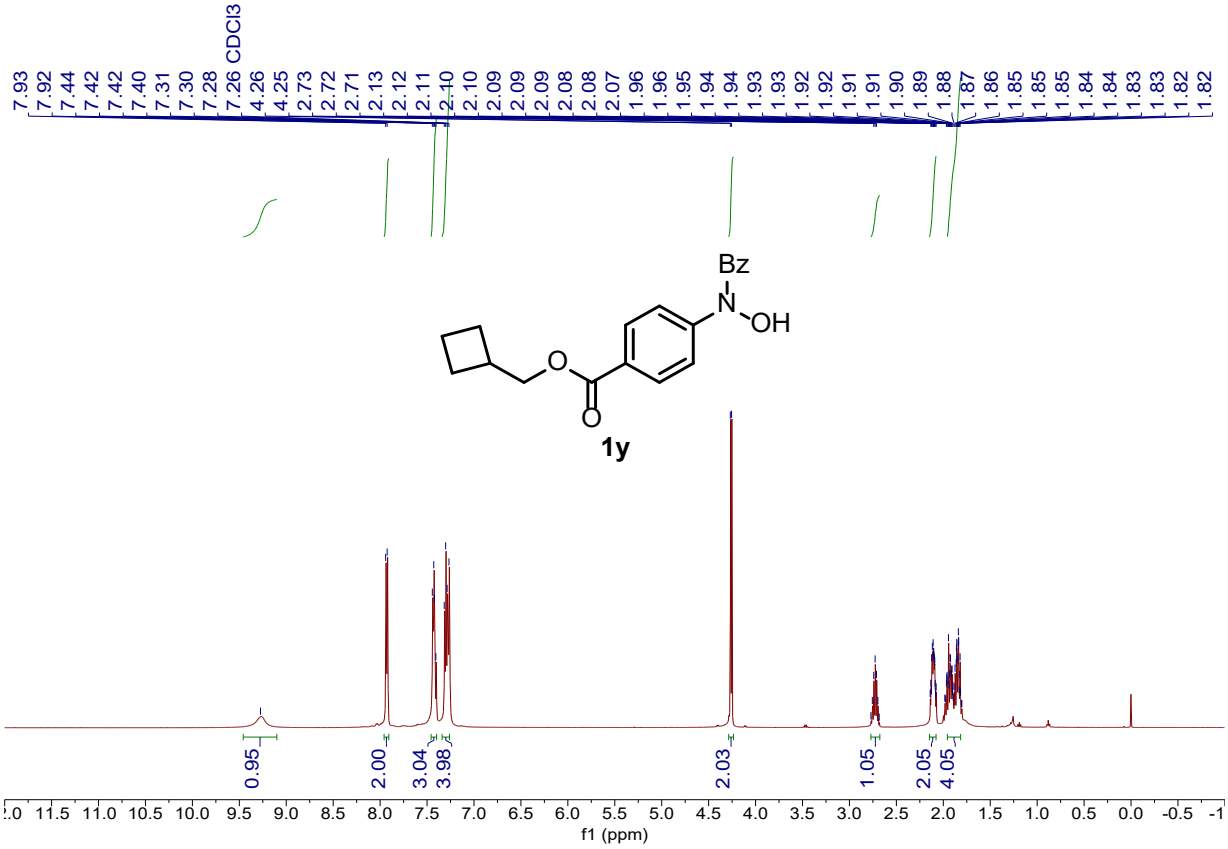
^{1}H NMR of Compound 1w (500 MHz, $CDCl_3$)



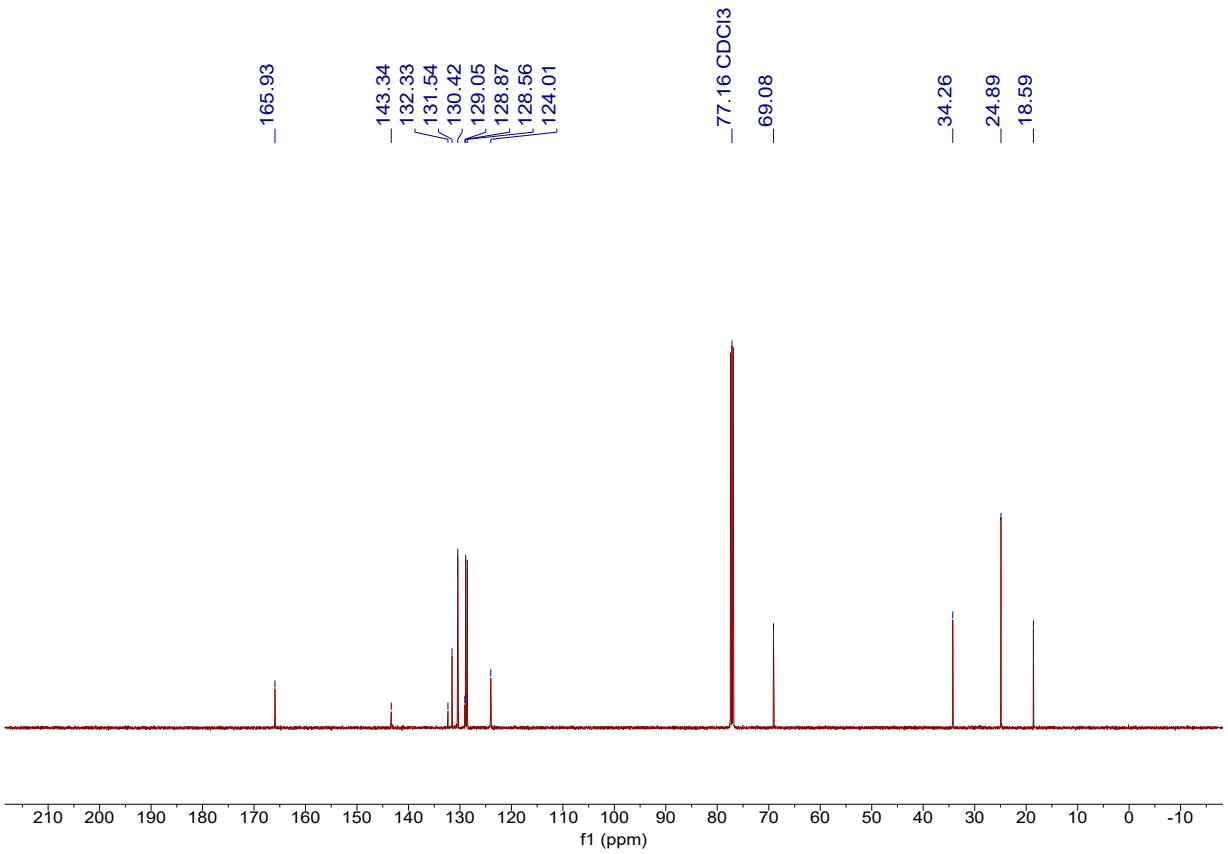
^{13}C NMR of Compound 1w (126 MHz, $CDCl_3$)



^{1}H NMR of Compound 1y (500 MHz, $CDCl_3$)



^{13}C NMR of Compound 1y (126 MHz, $CDCl_3$)



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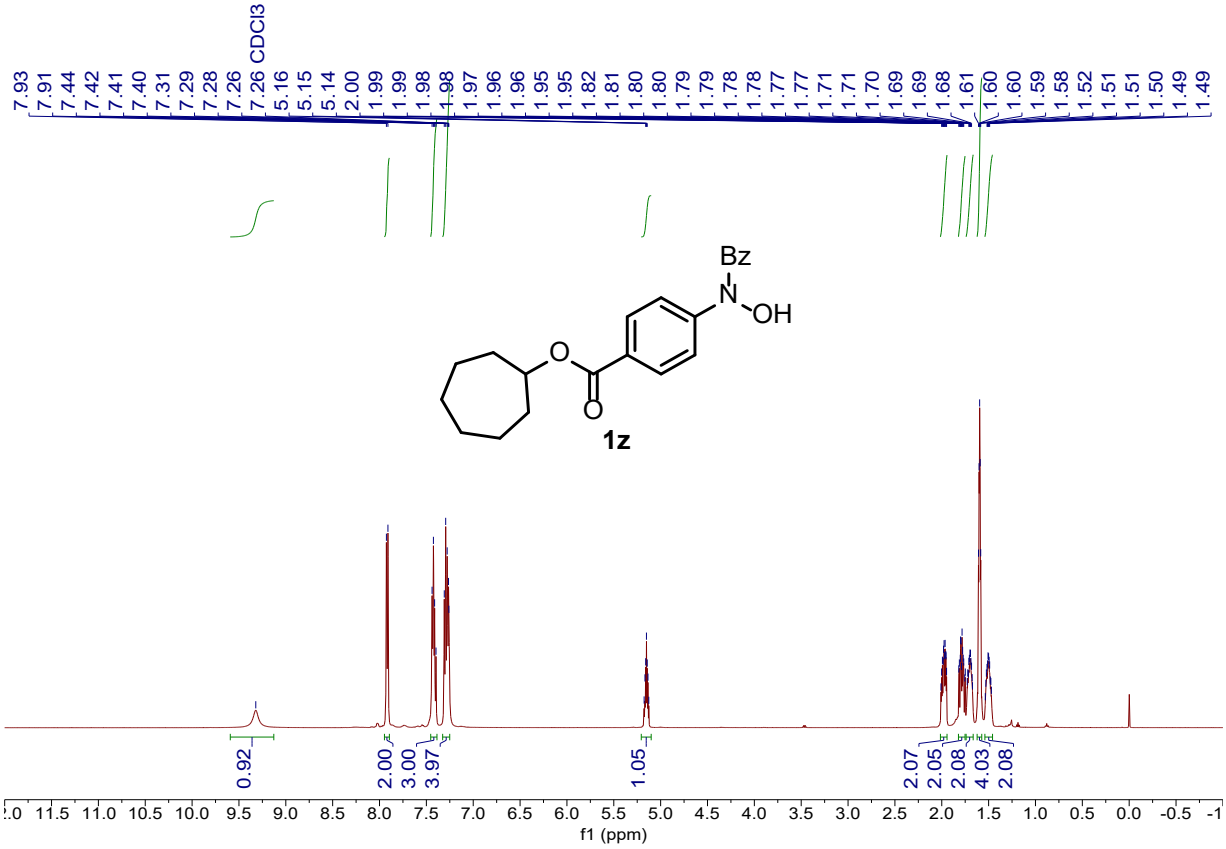
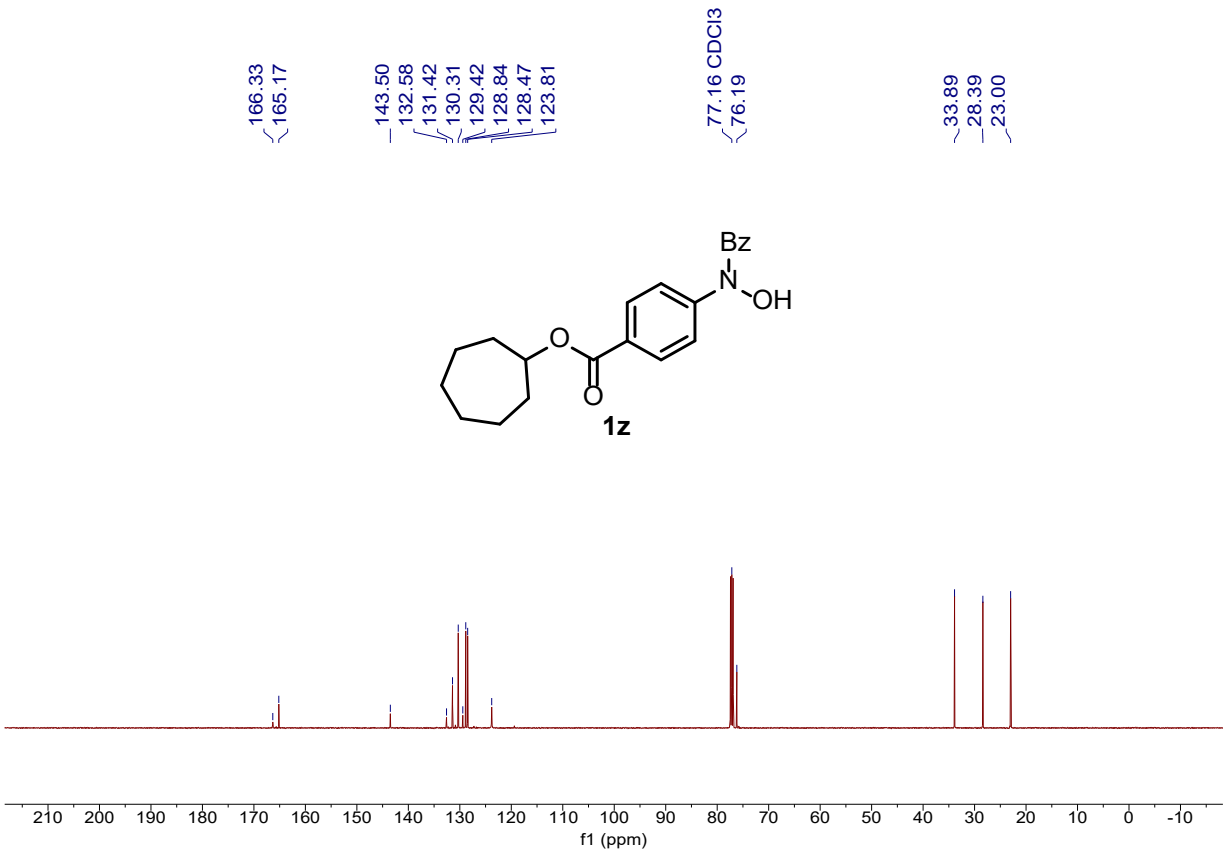
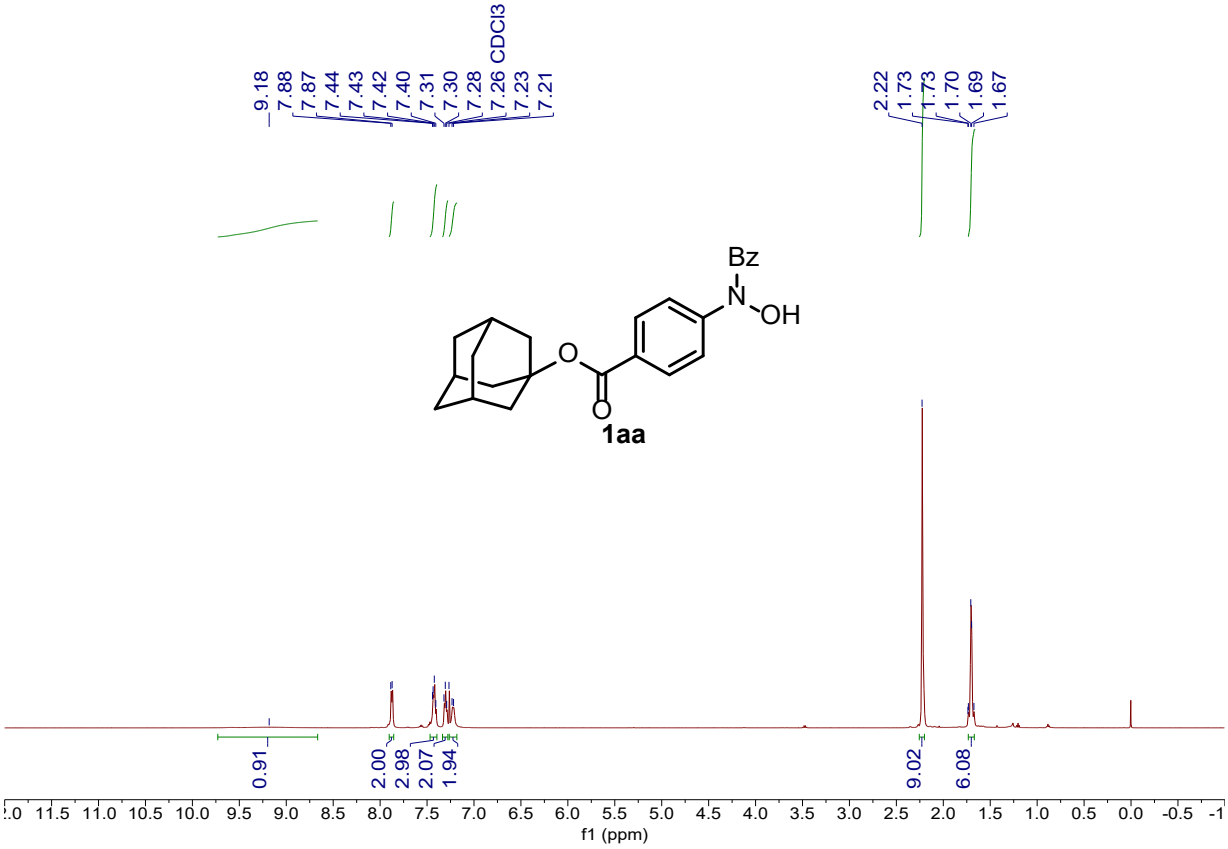


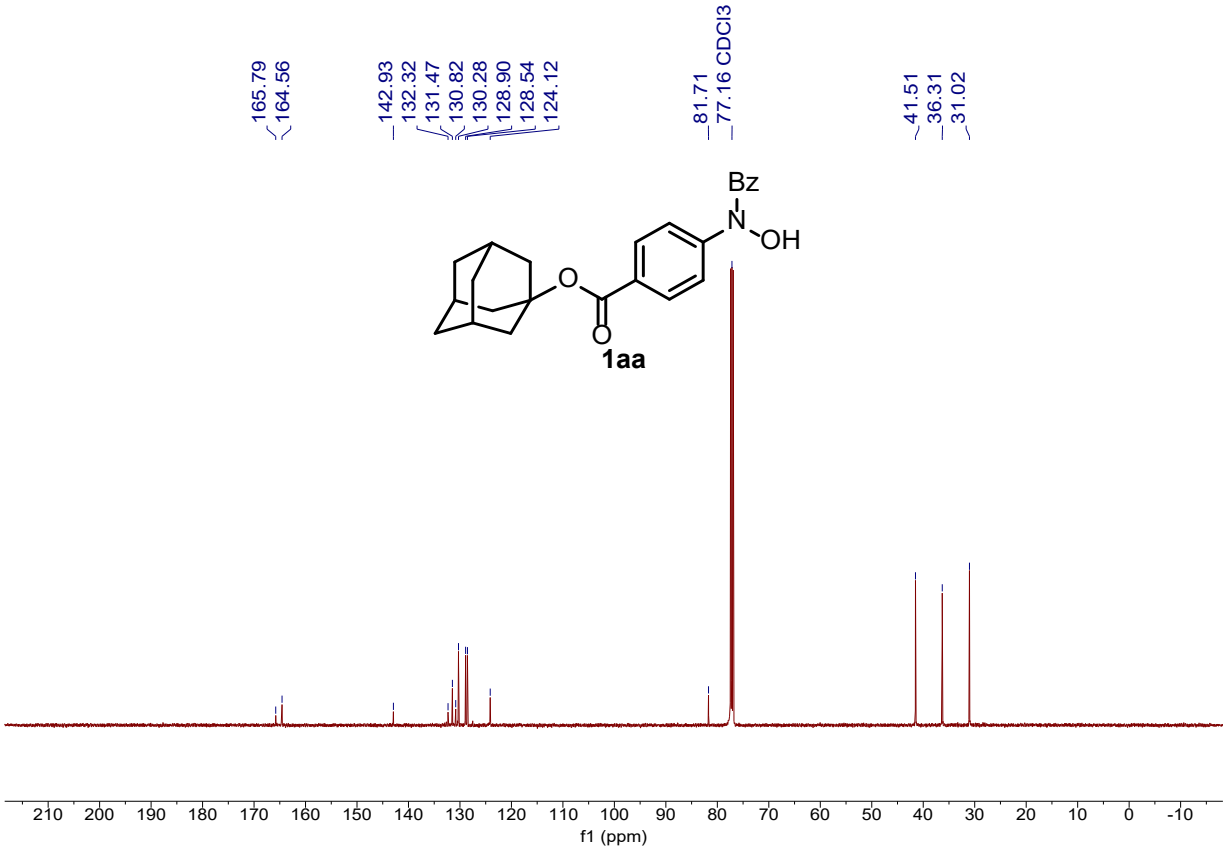
^{13}C NMR of Compound 1z (126 MHz, $CDCl_3$)



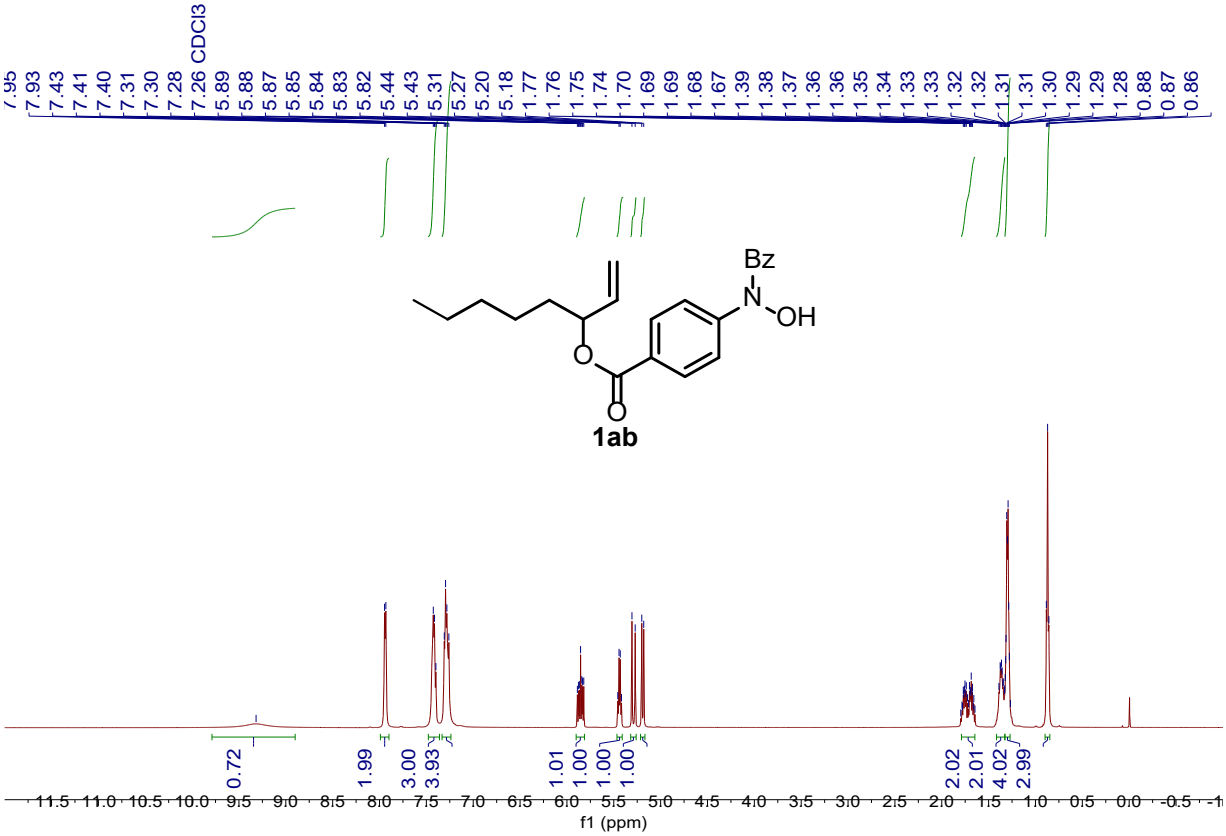
^{1}H NMR of Compound 1aa (500 MHz, $CDCl_3$)



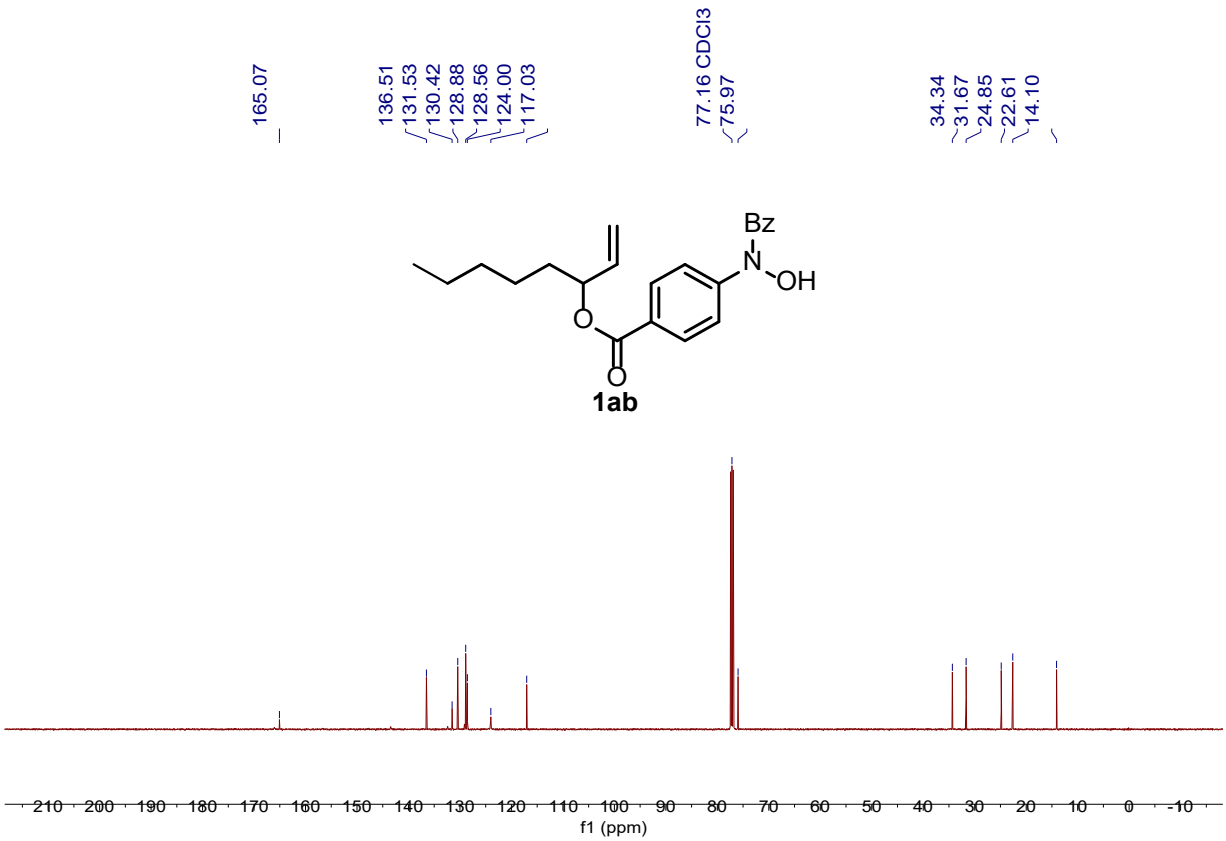
^{13}C NMR of Compound 1aa (126 MHz, $CDCl_3$)



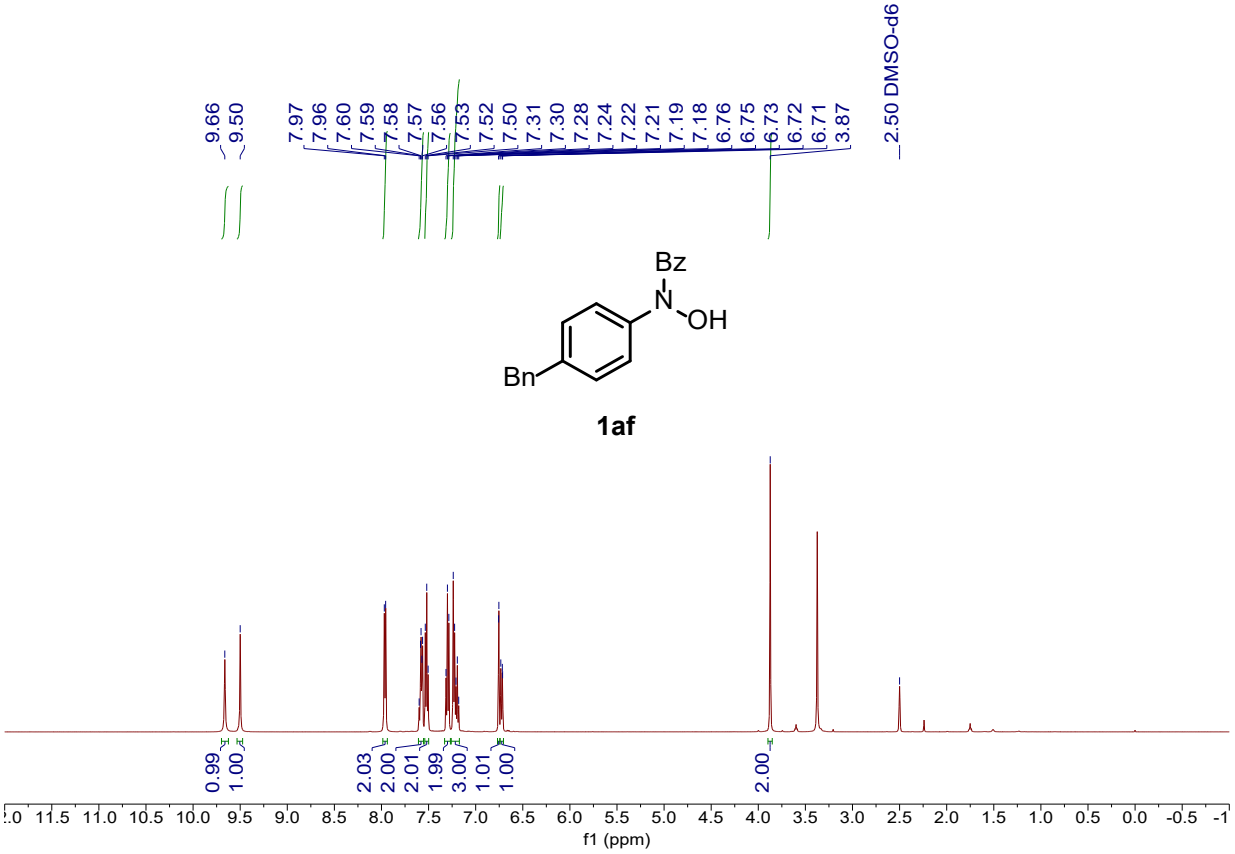
^{1}H NMR of Compound 1ab (500 MHz, $CDCl_3$)



^{13}C NMR of Compound 1ab (126 MHz, $CDCl_3$)

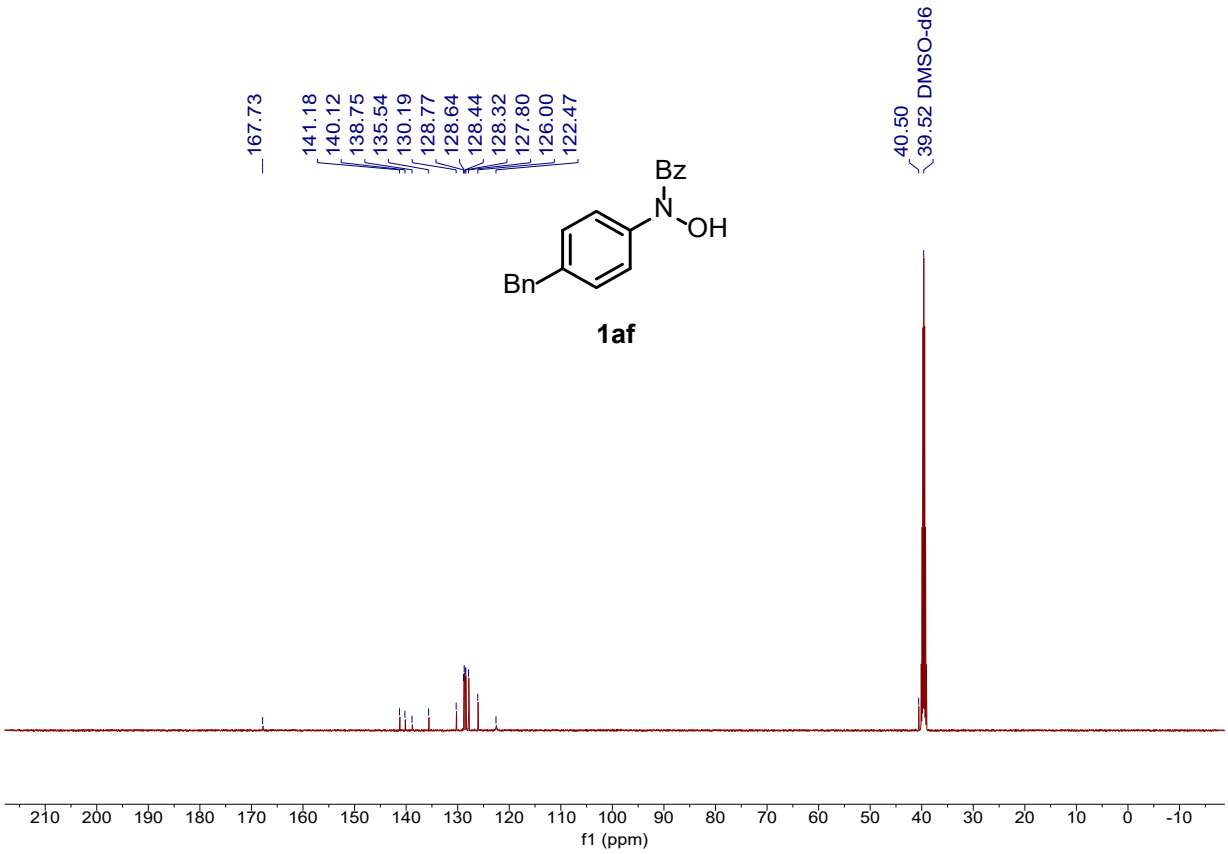


^{1}H NMR of Compound 1af (500 MHz, DMSO- d_6)



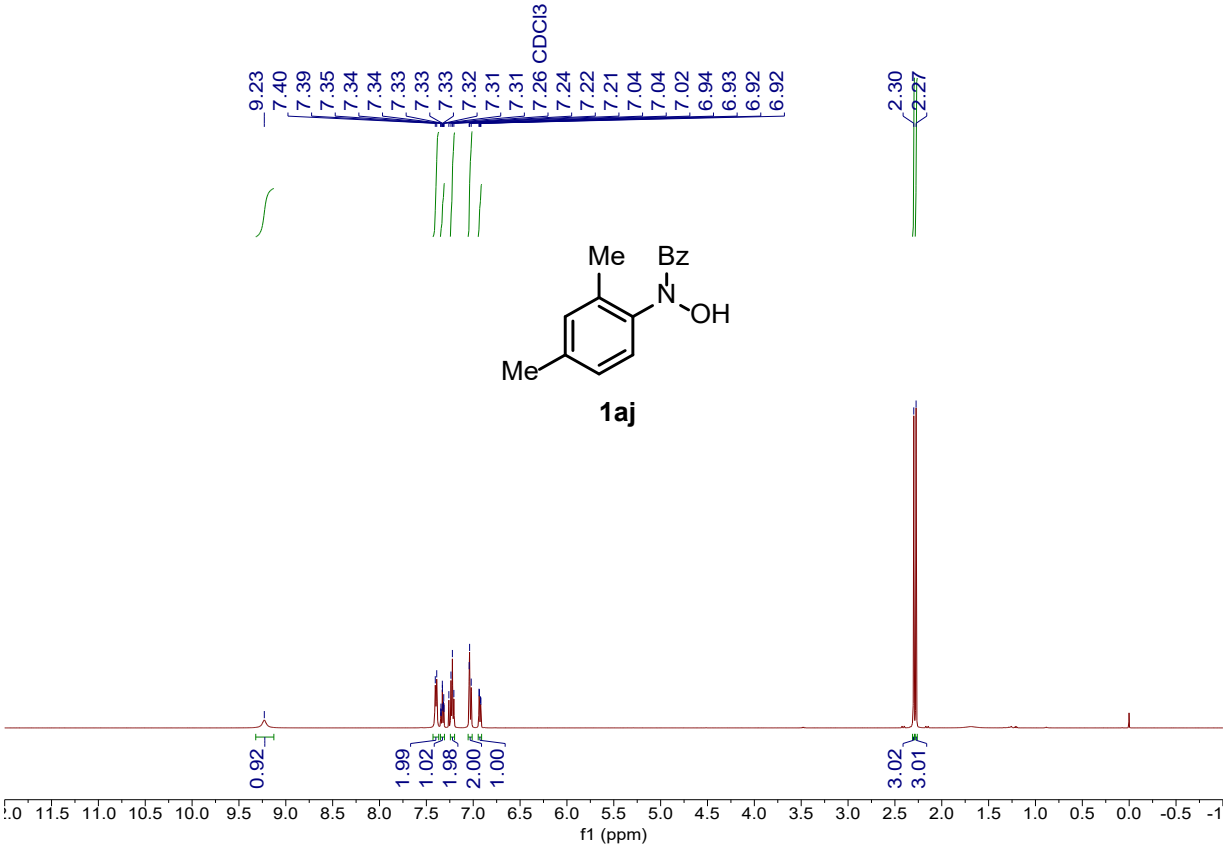
1af

^{13}C NMR of Compound 1af (126 MHz, DMSO- d_6)

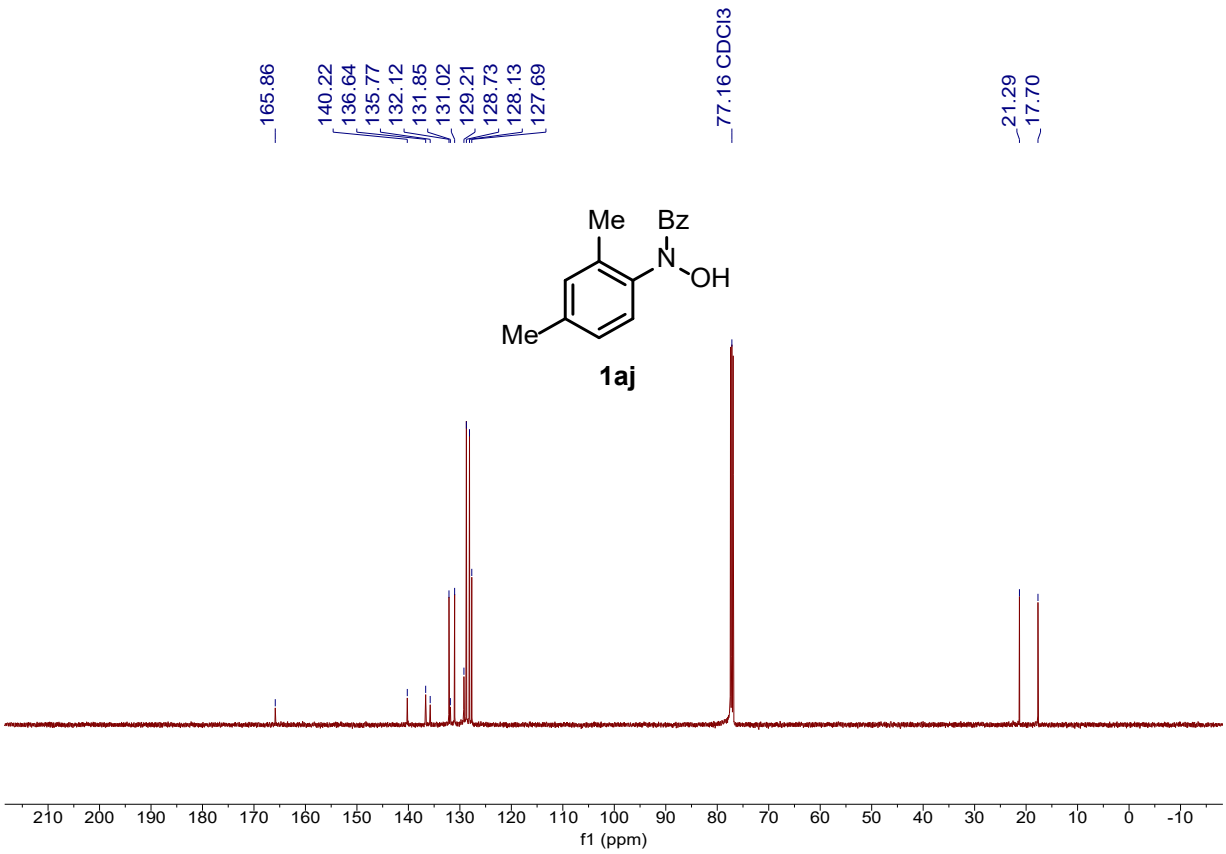


1af

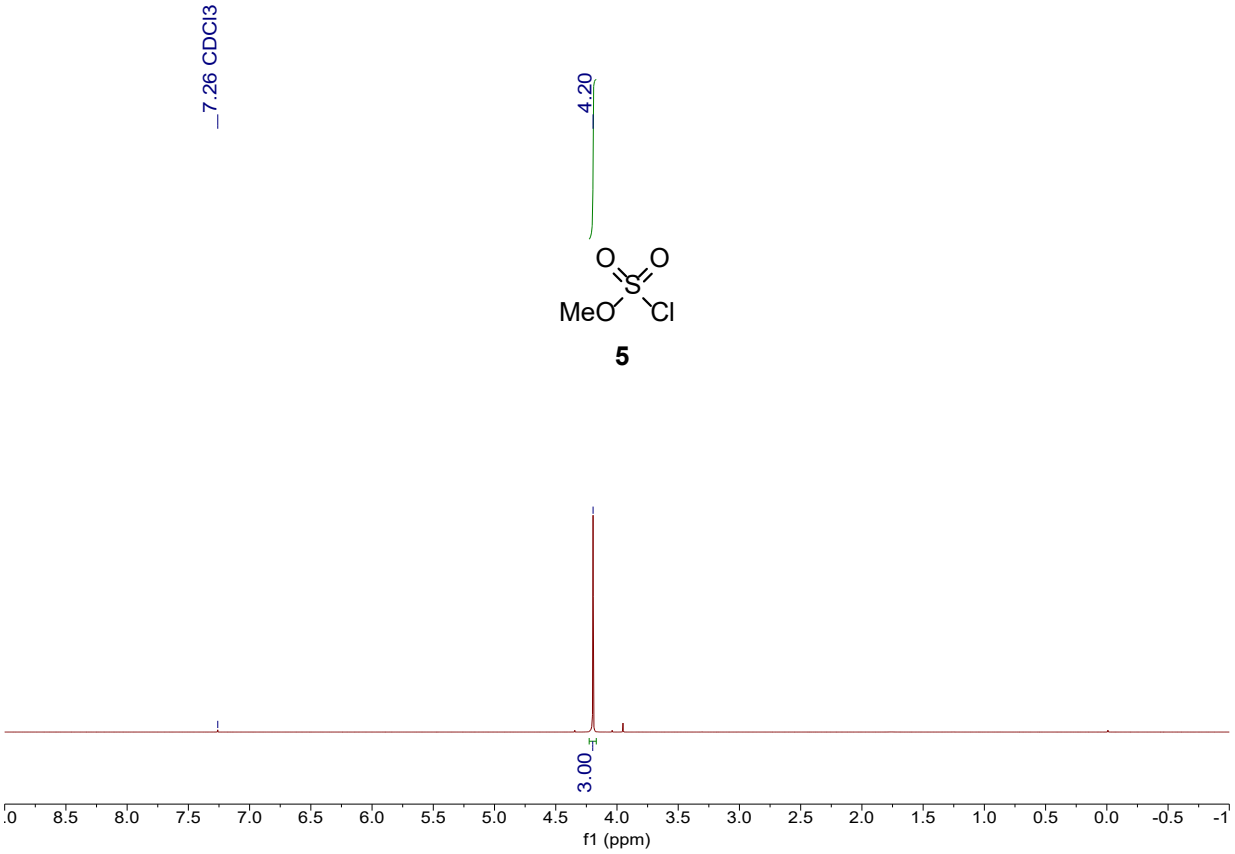
^{1}H NMR of Compound 1aj (500 MHz, $CDCl_3$)



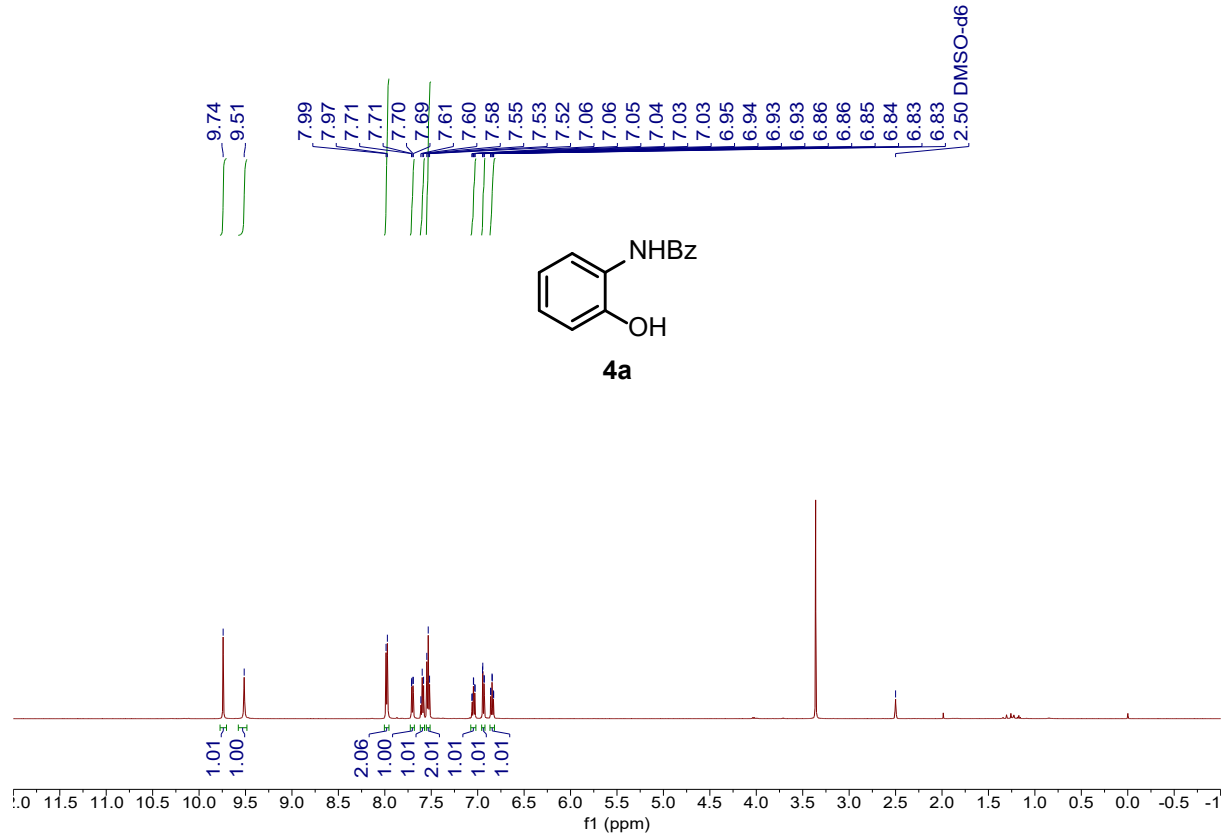
^{13}C NMR of Compound 1aj (126 MHz, $CDCl_3$)



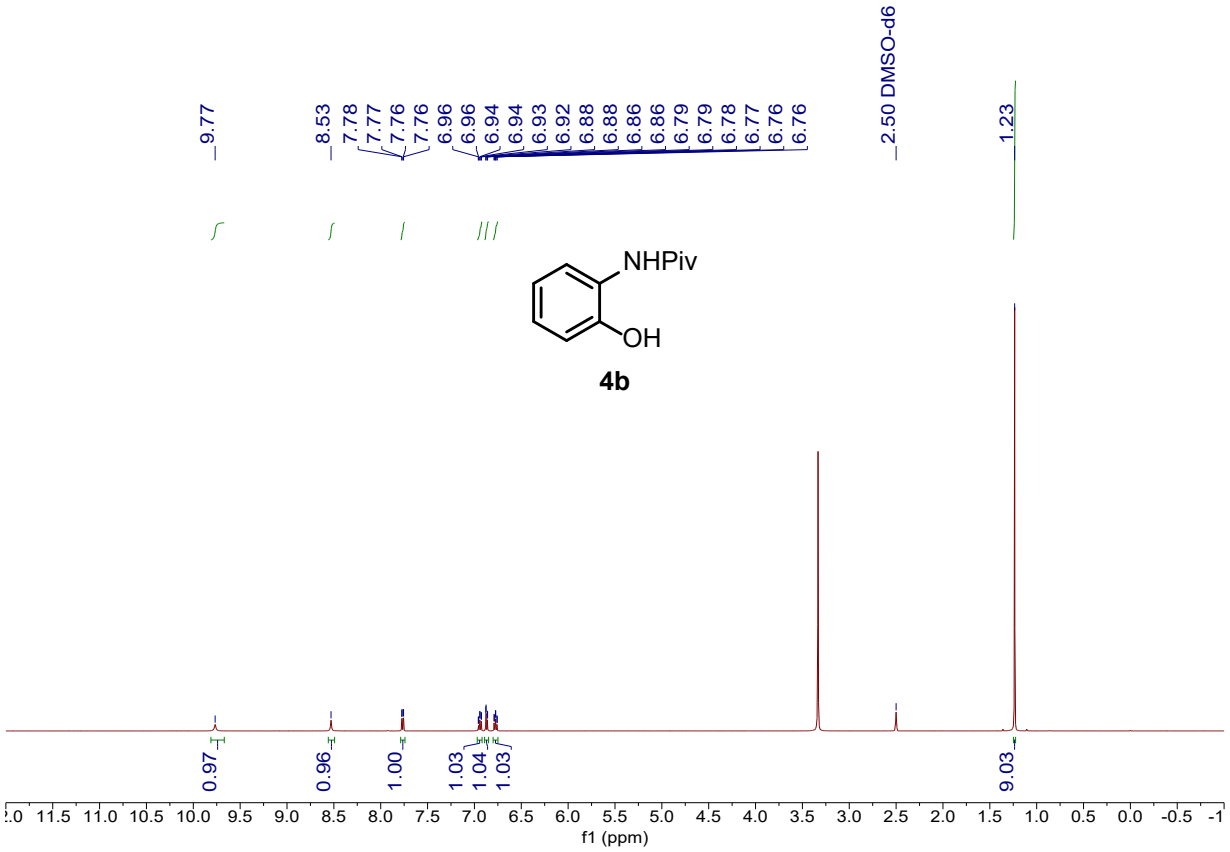
^{1}H NMR of Compound 5 (500 MHz, $CDCl_3$)



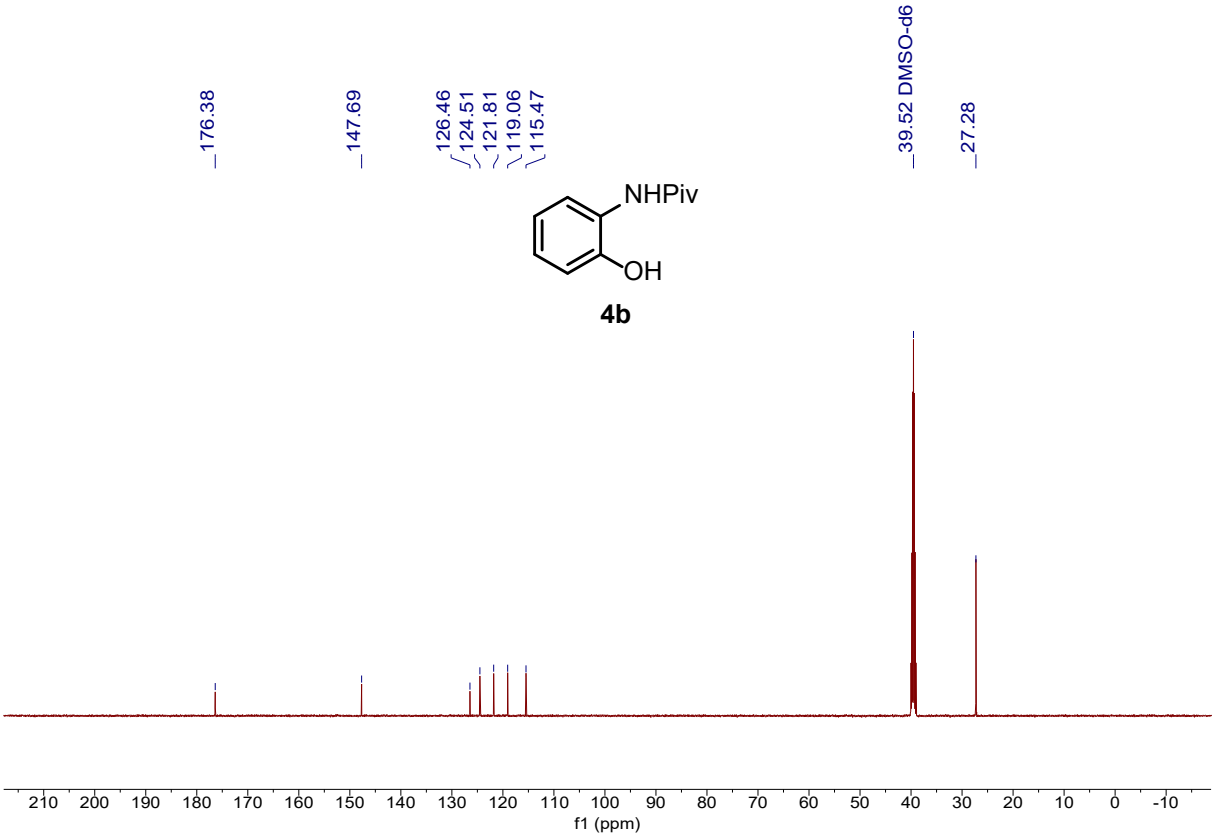
^{1}H NMR of Compound 4a (500 MHz, DMSO- d_6)



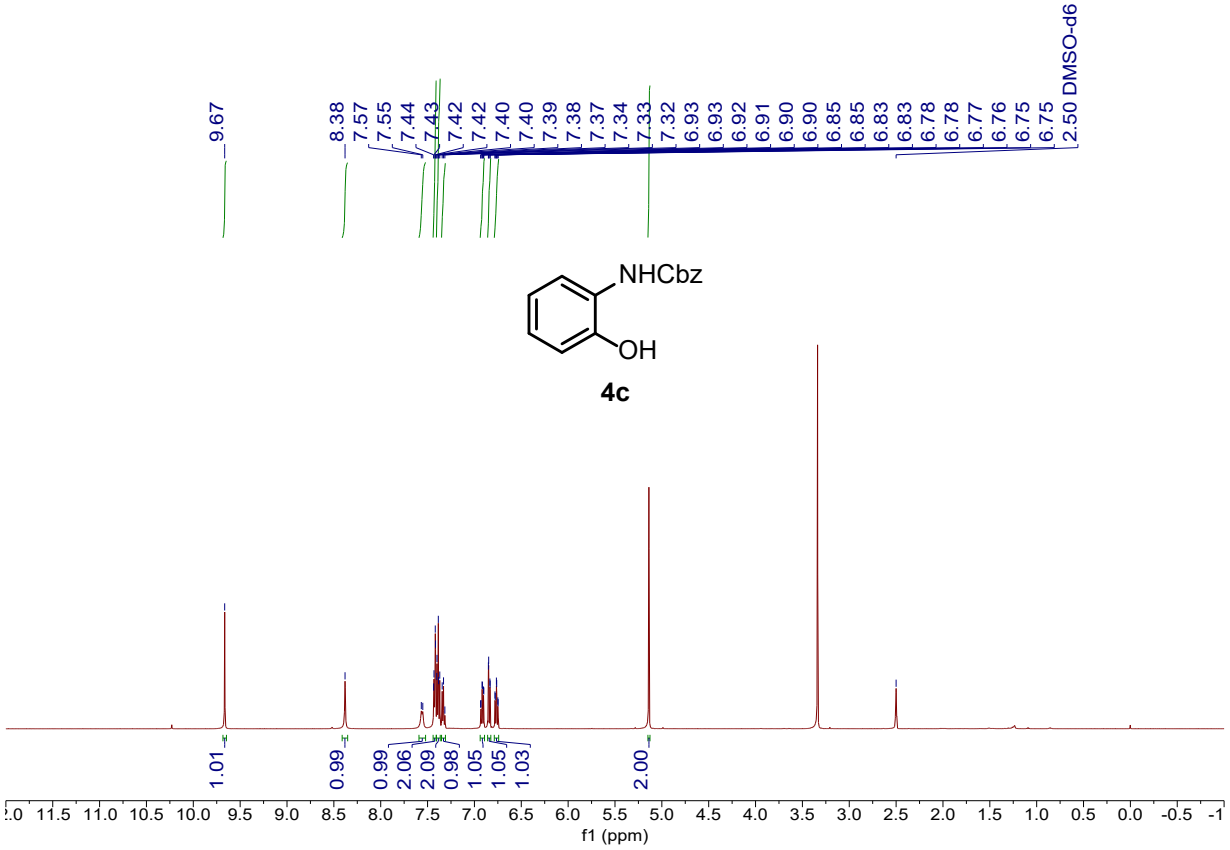
^{1}H NMR of Compound 4b (500 MHz, DMSO- d_6)



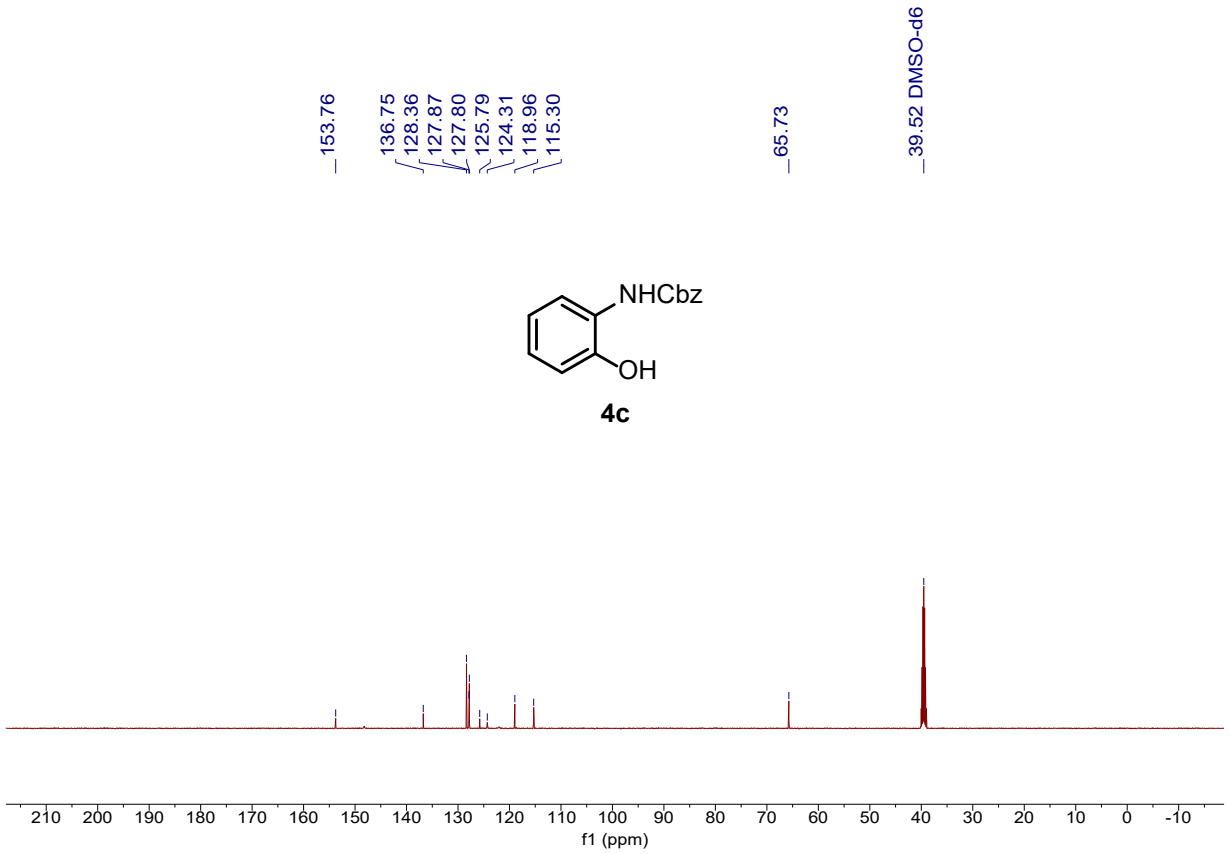
^{13}C NMR of Compound 4b (126 MHz, DMSO- d_6)



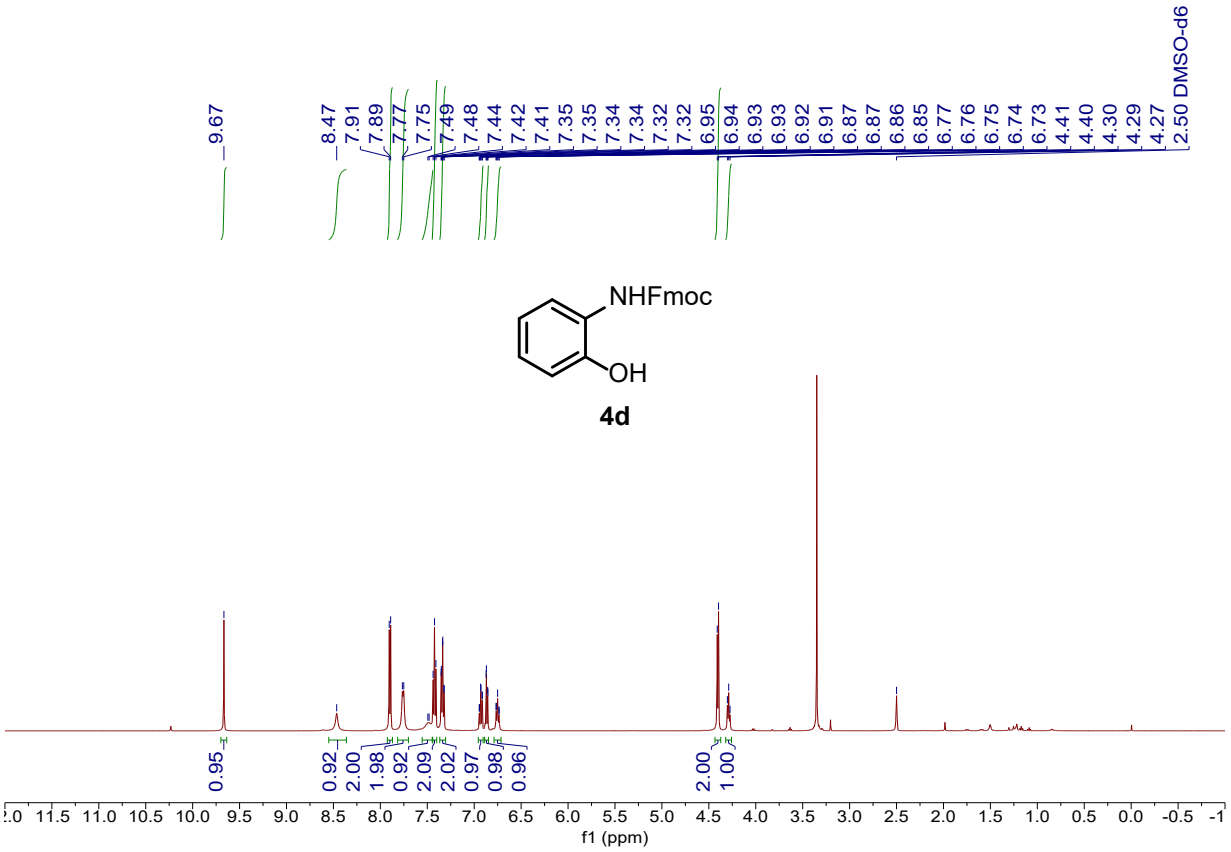
^{1}H NMR of Compound 4c (500 MHz, DMSO- d_6)



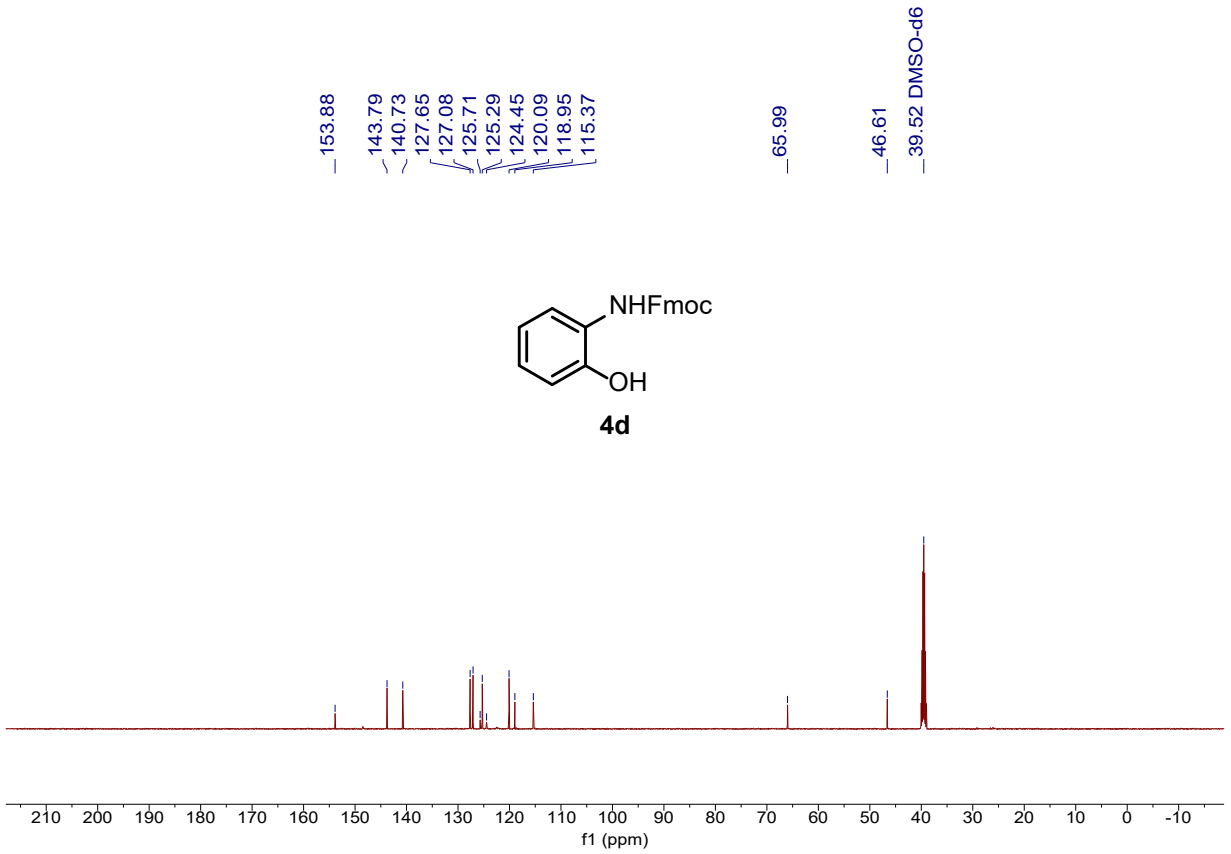
^{13}C NMR of Compound 4c (126 MHz, DMSO- d_6)



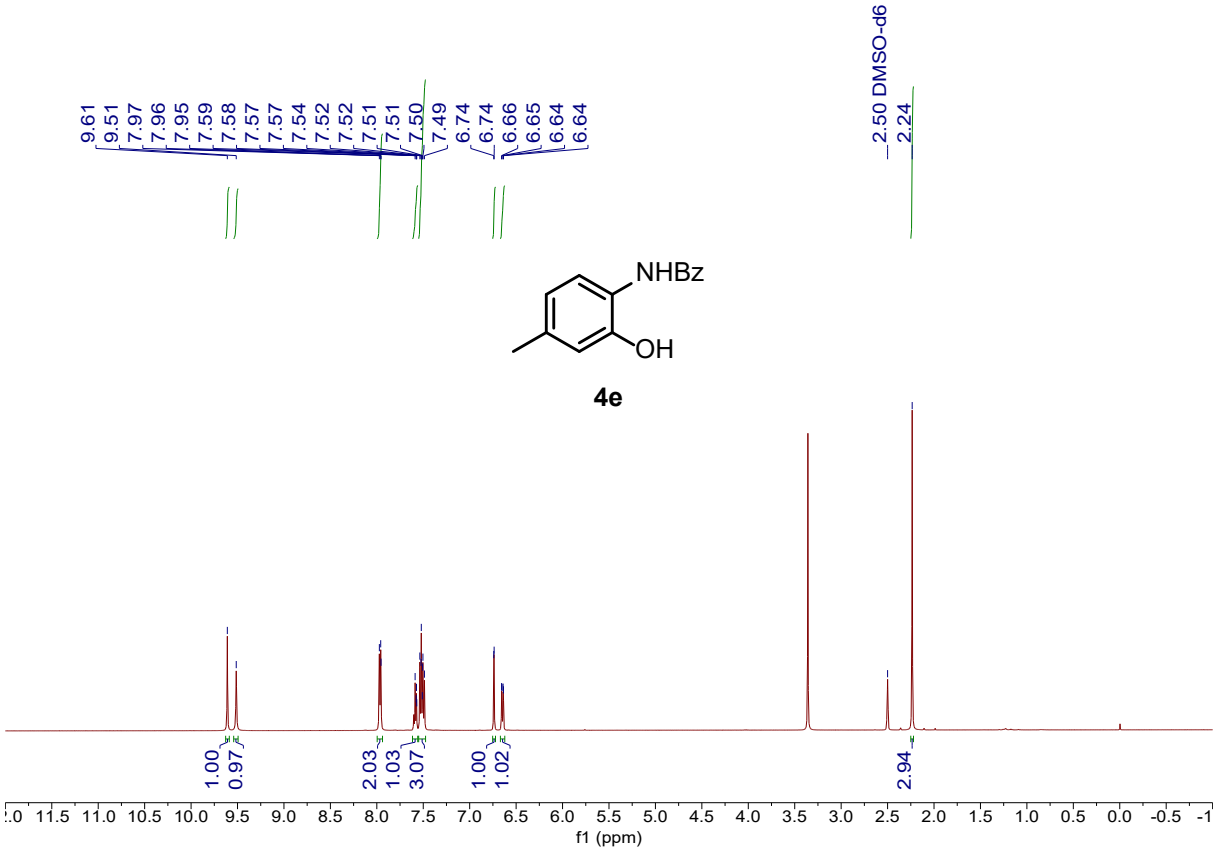
^{1}H NMR of Compound 4d (500 MHz, DMSO- d_6)



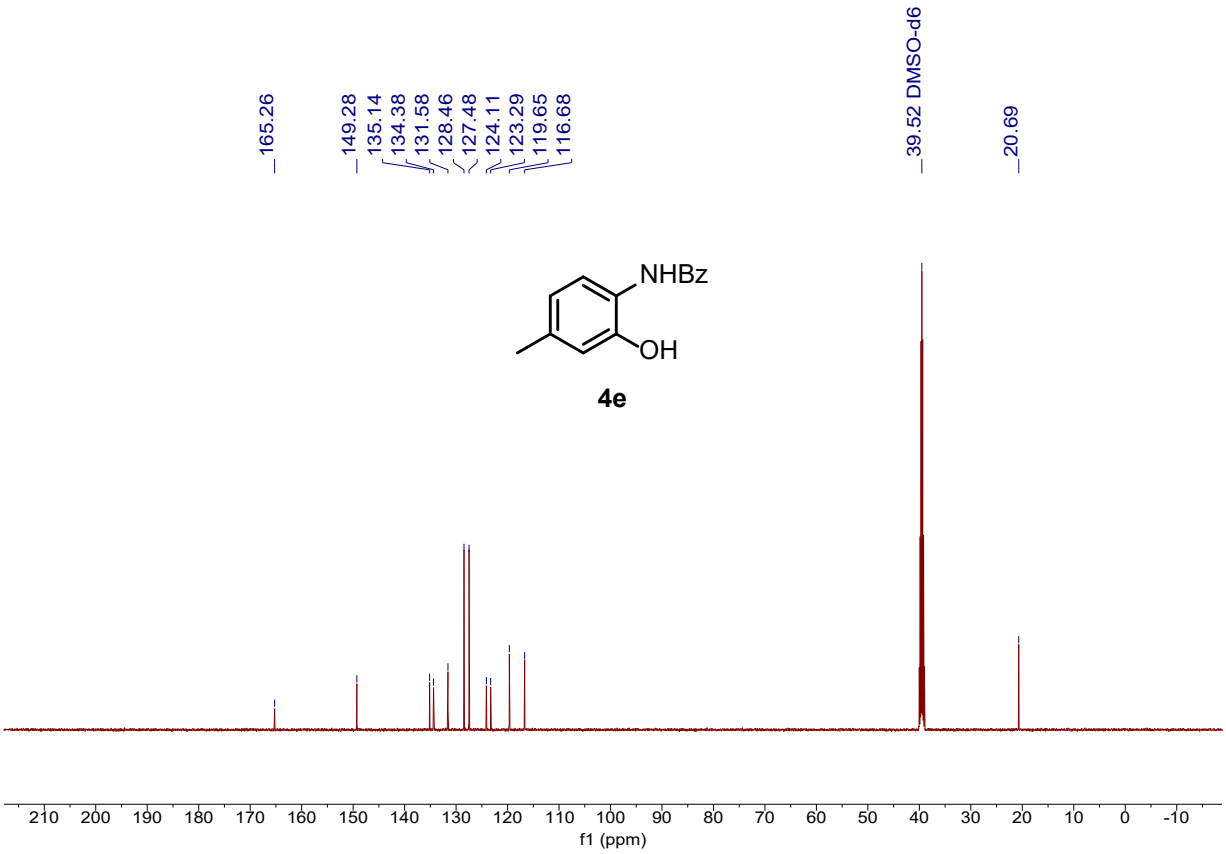
^{13}C NMR of Compound 4d (126 MHz, DMSO- d_6)



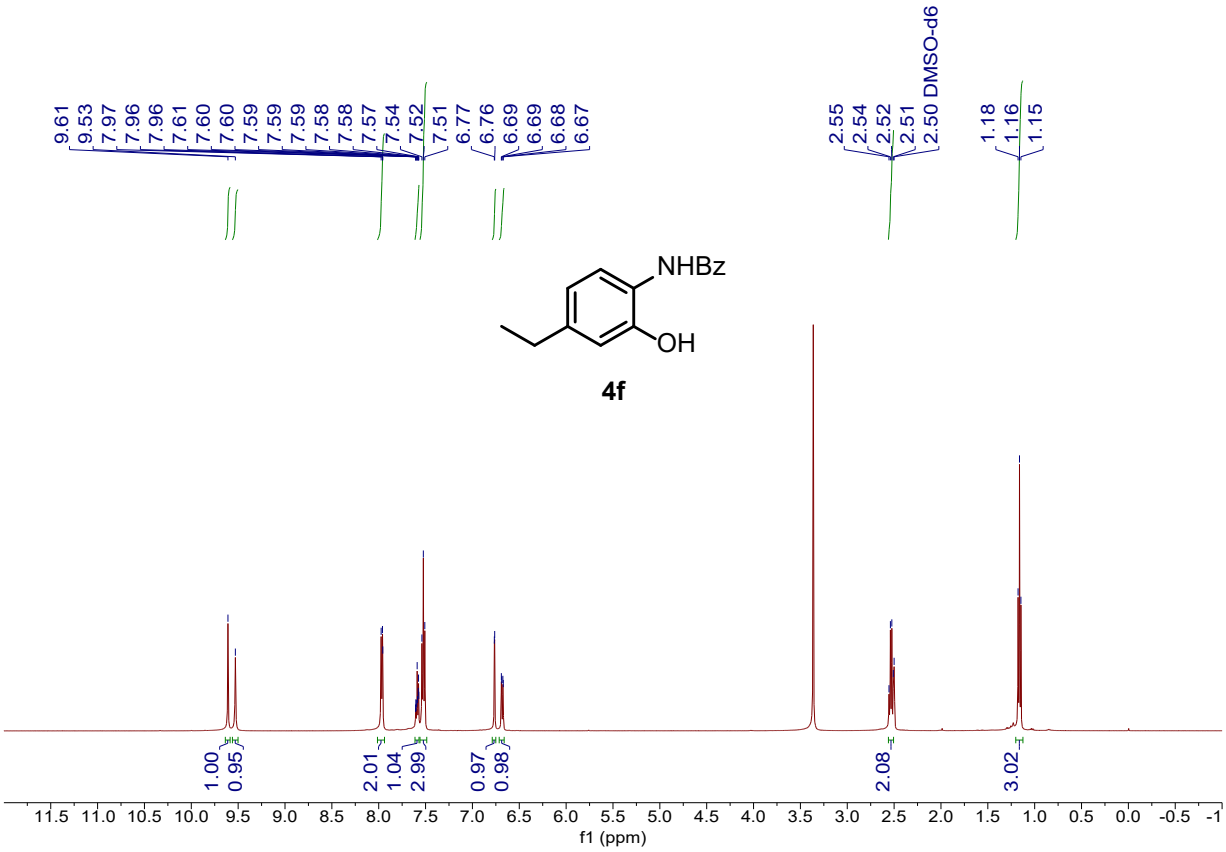
^{1}H NMR of Compound 4e (500 MHz, DMSO- d_6)



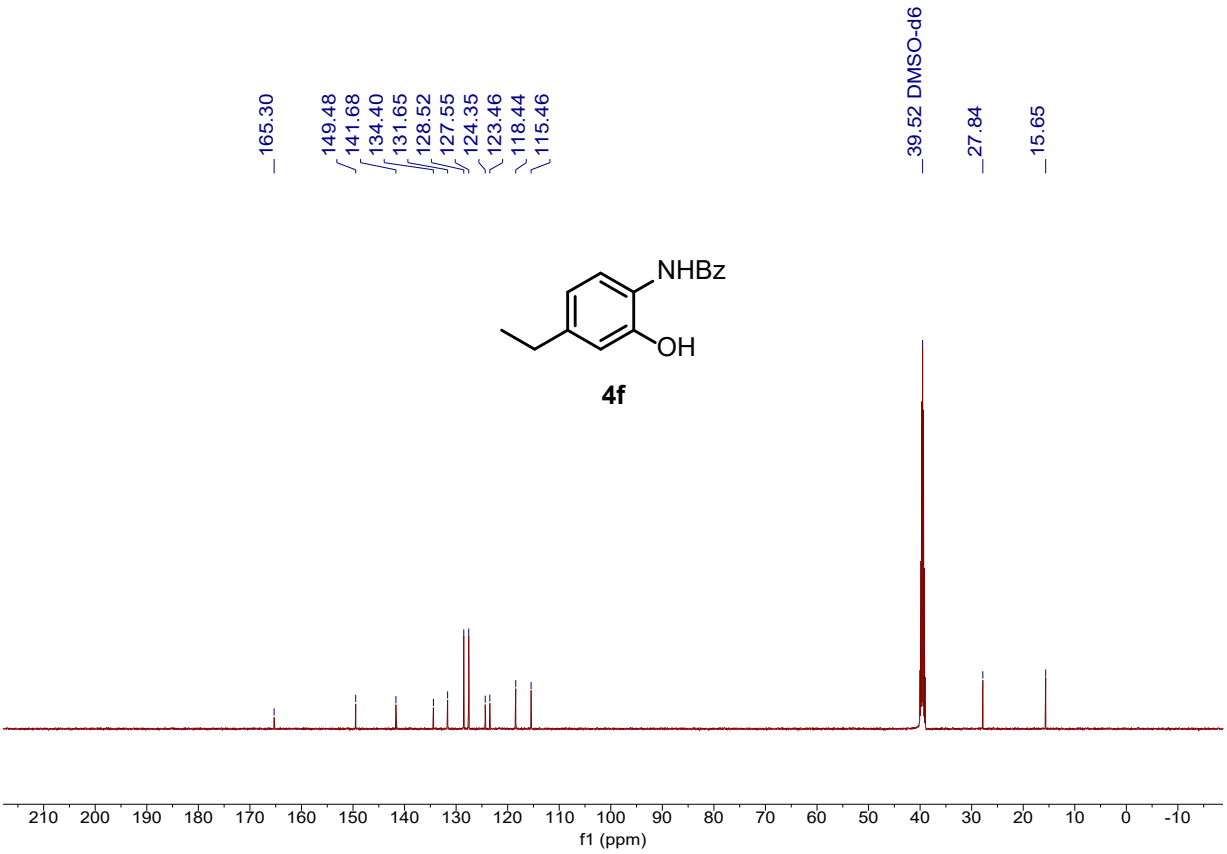
^{13}C NMR of Compound 4e (126 MHz, DMSO- d_6)



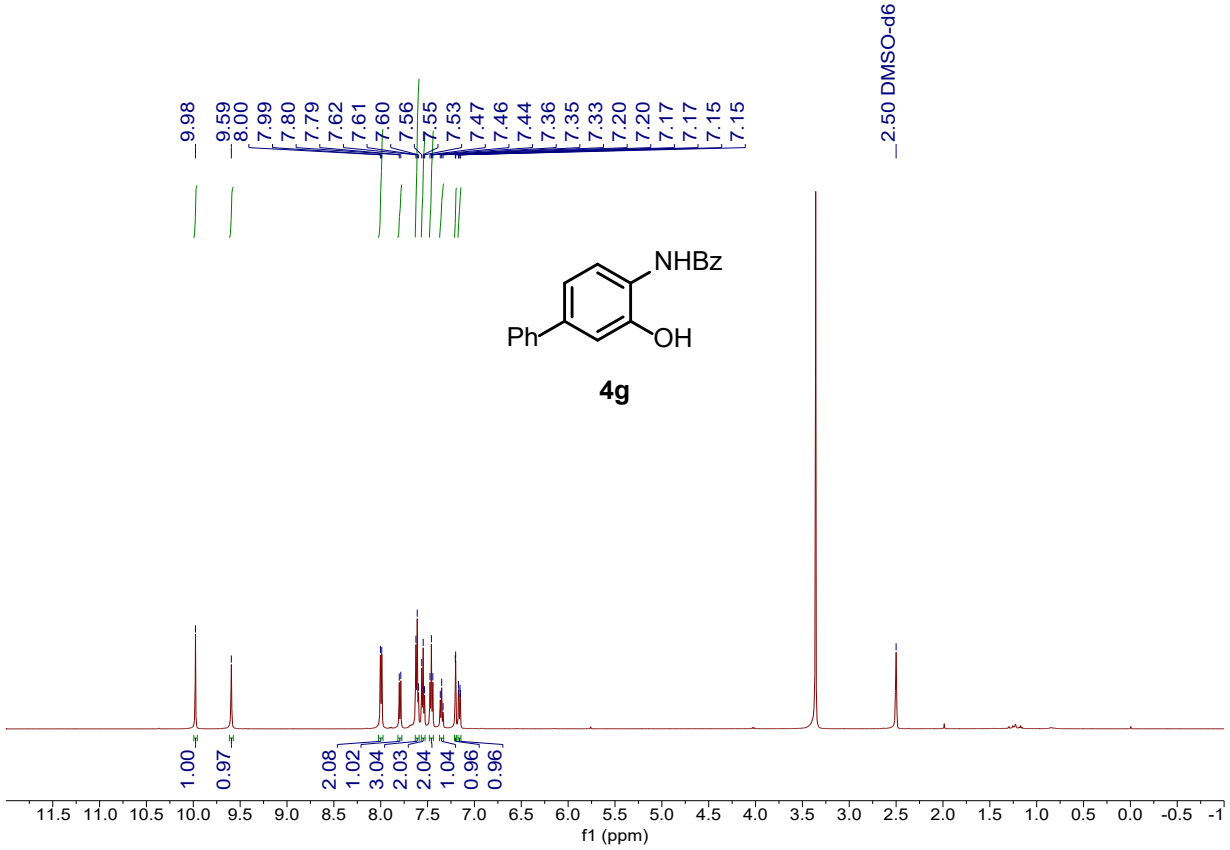
^{1}H NMR of Compound 4f (500 MHz, DMSO- d_6)



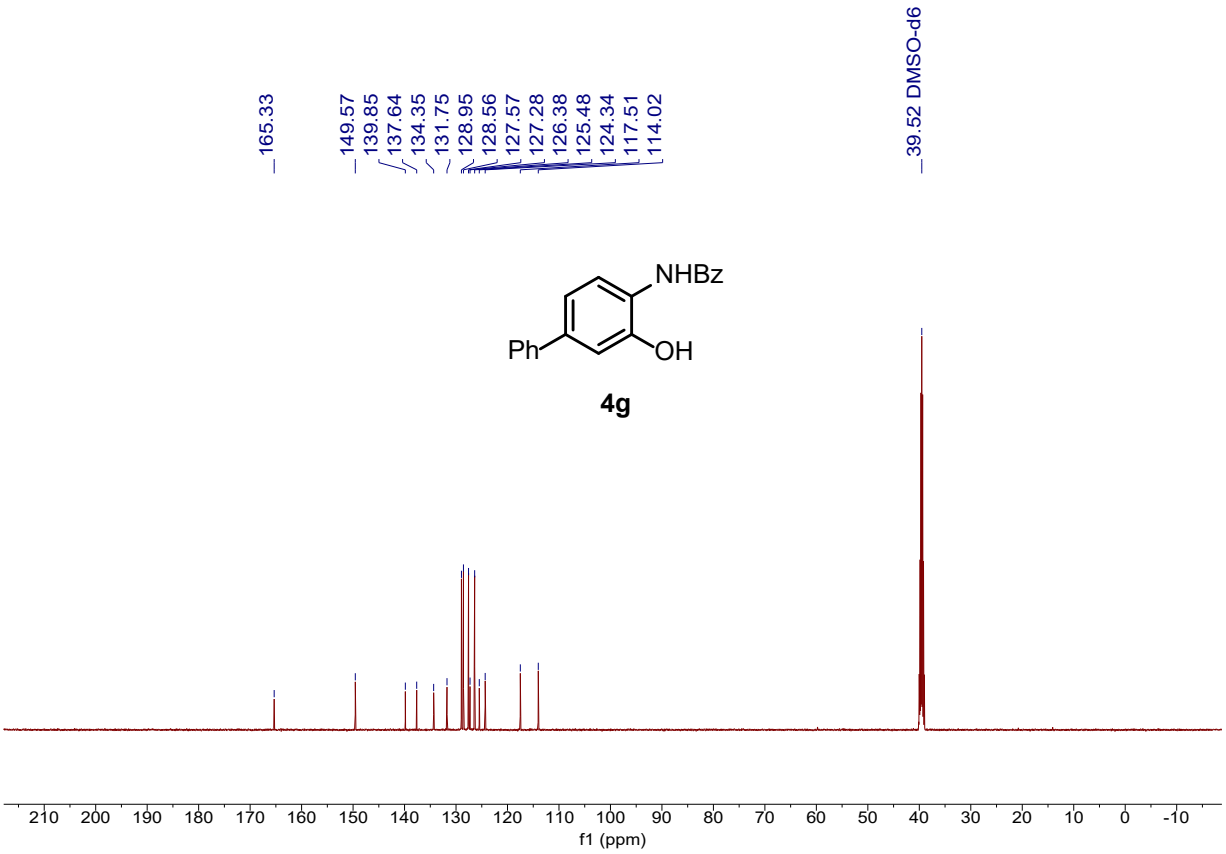
^{13}C NMR of Compound 4f (126 MHz, DMSO- d_6)



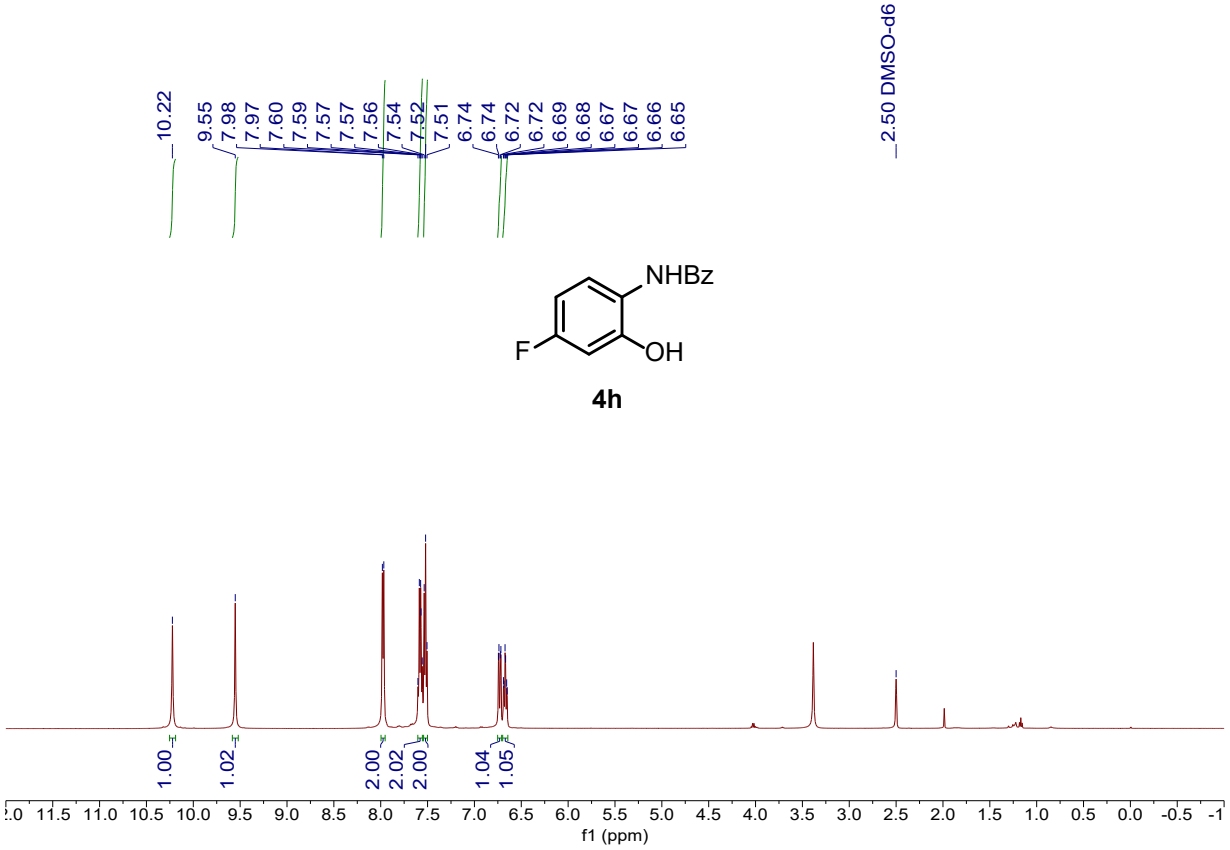
^{1}H NMR of Compound 4g (500 MHz, DMSO- d_6)



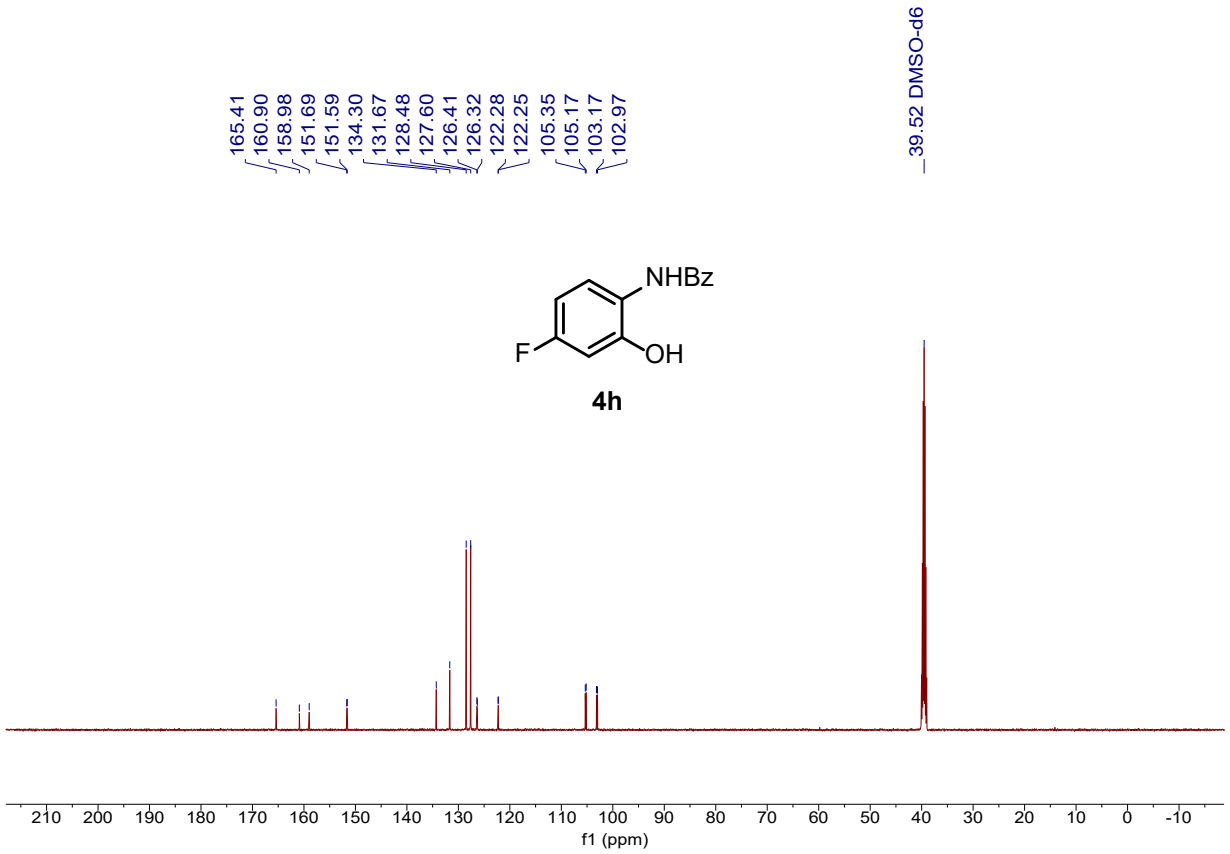
^{13}C NMR of Compound 4g (126 MHz, DMSO- d_6)



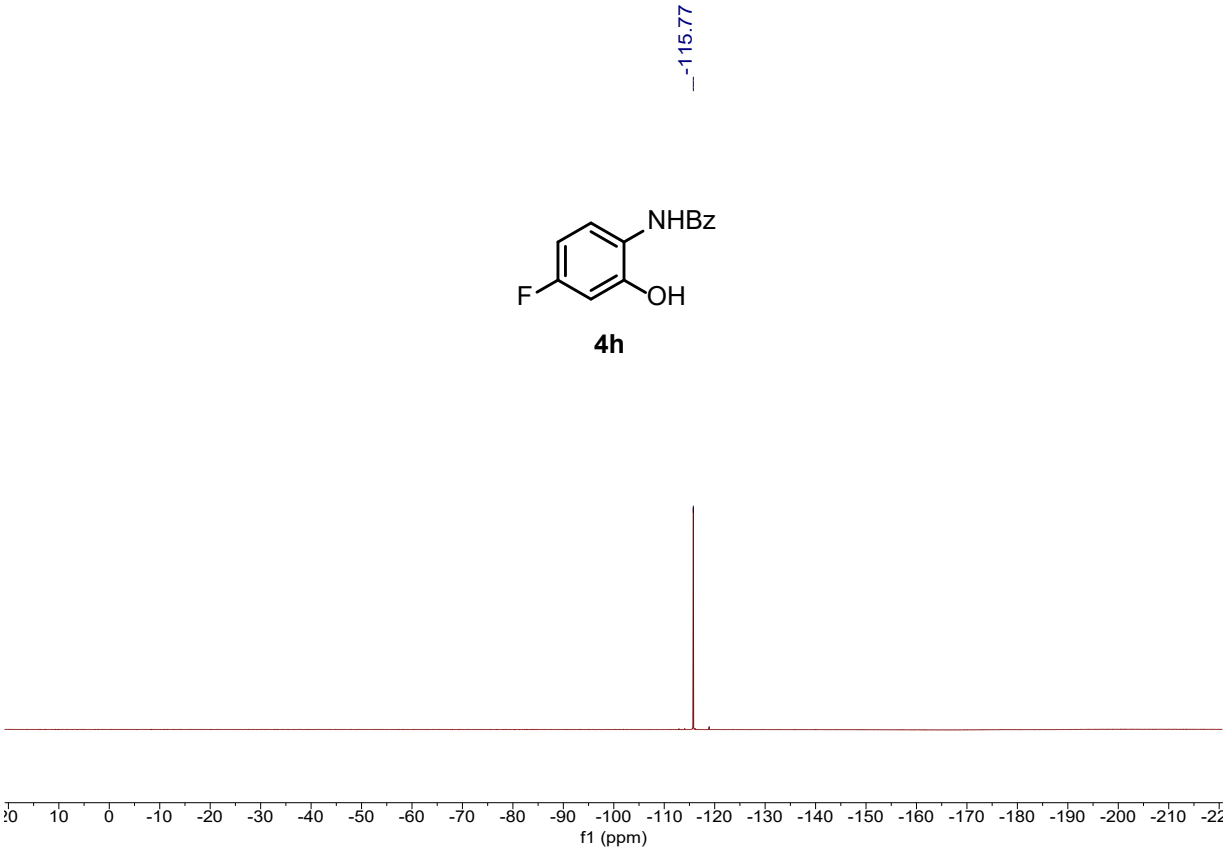
^{1}H NMR of Compound 4h (500 MHz, DMSO- d_6)



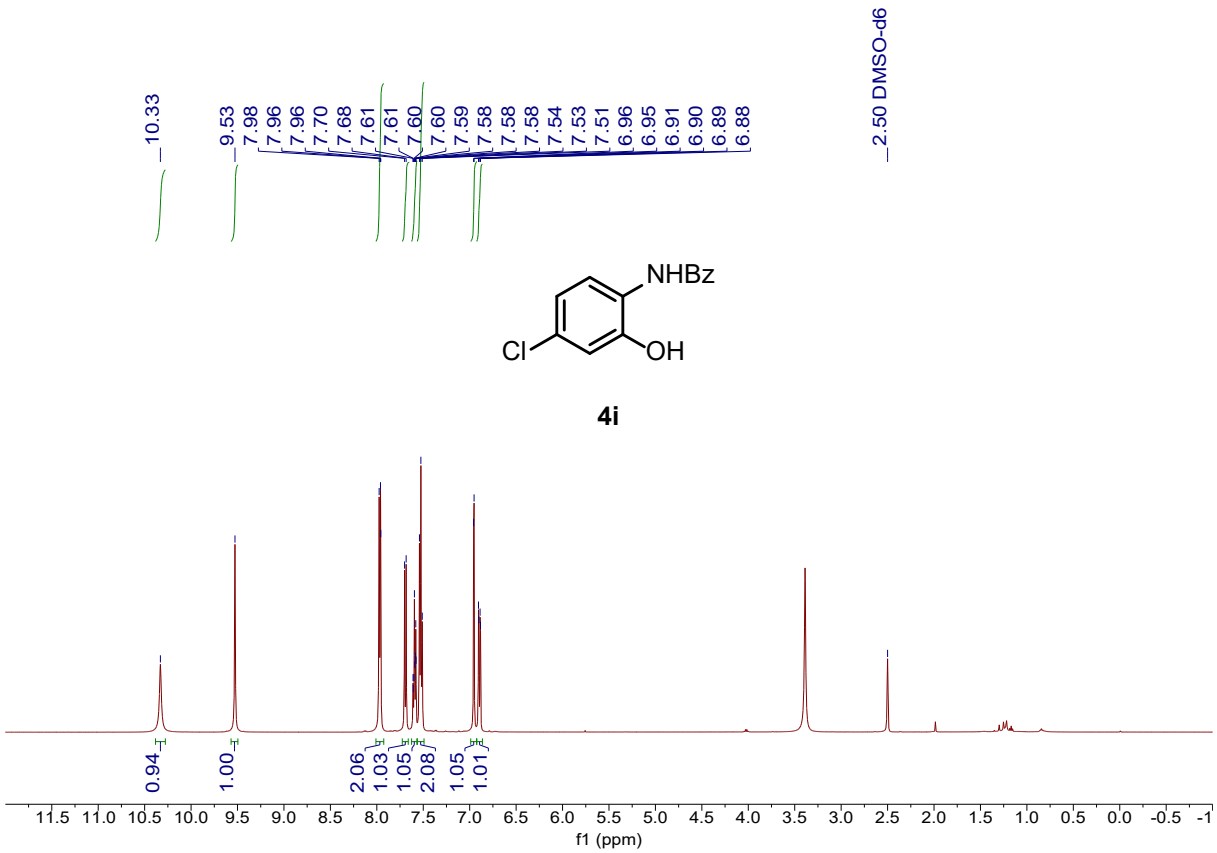
^{13}C NMR of Compound 4h (126 MHz, DMSO- d_6)



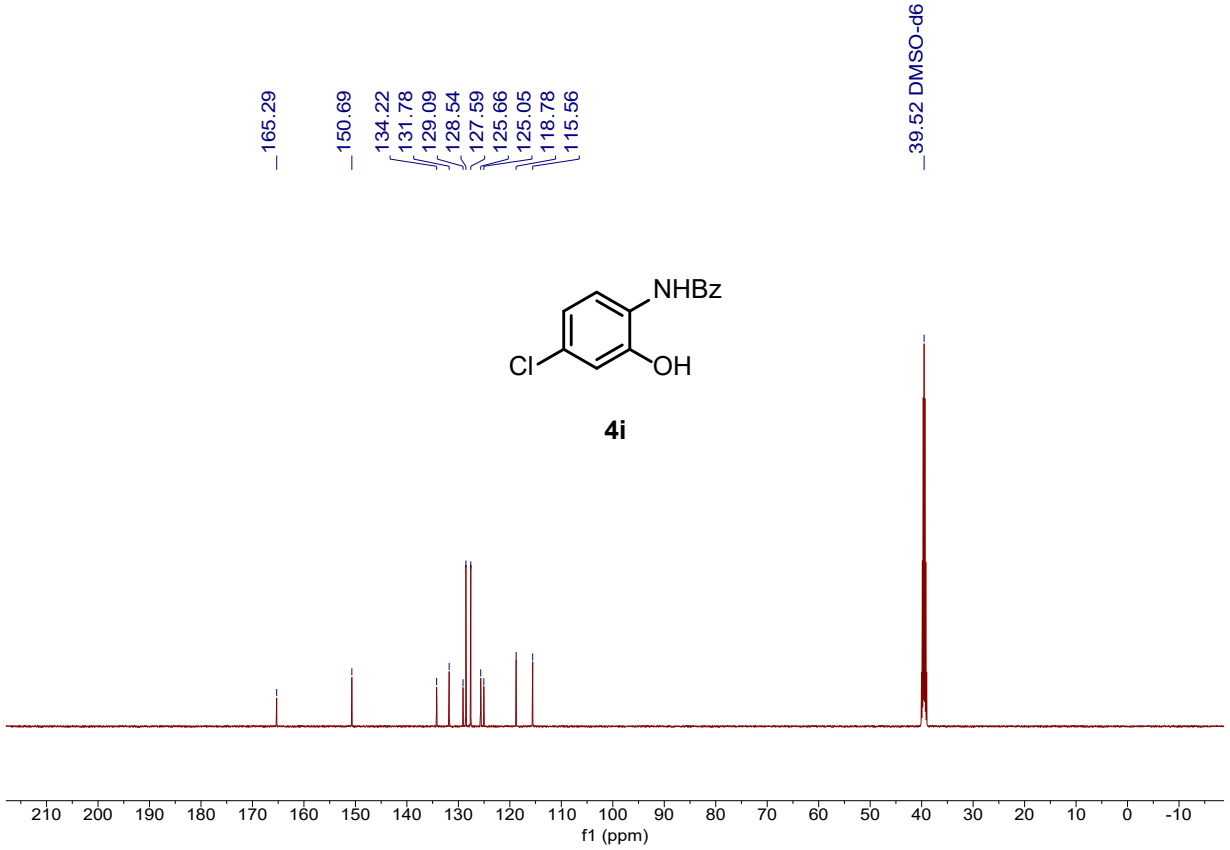
^{19}F NMR of Compound 4h (471 MHz, DMSO- d_6)



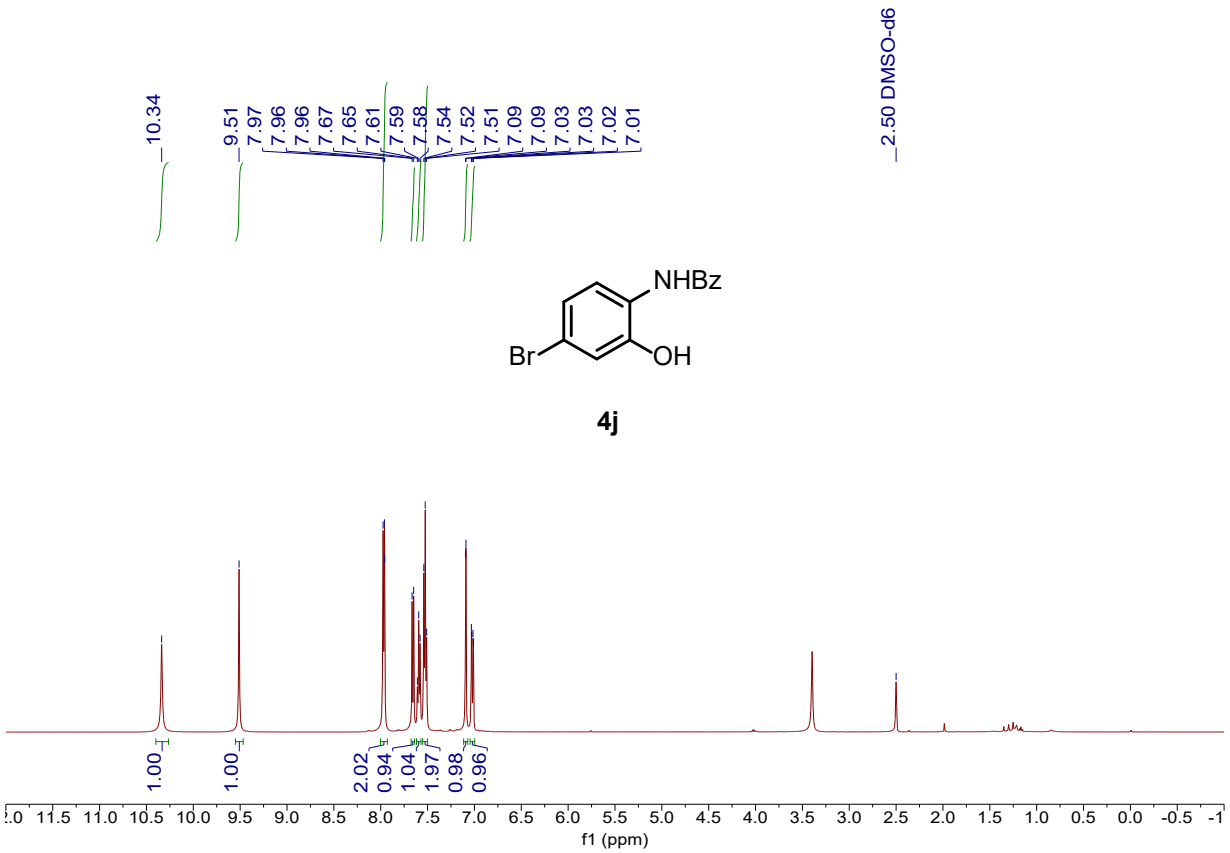
^{1}H NMR of Compound 4i (500 MHz, DMSO- d_6)



^{13}C NMR of Compound 4i (126 MHz, DMSO- d_6)

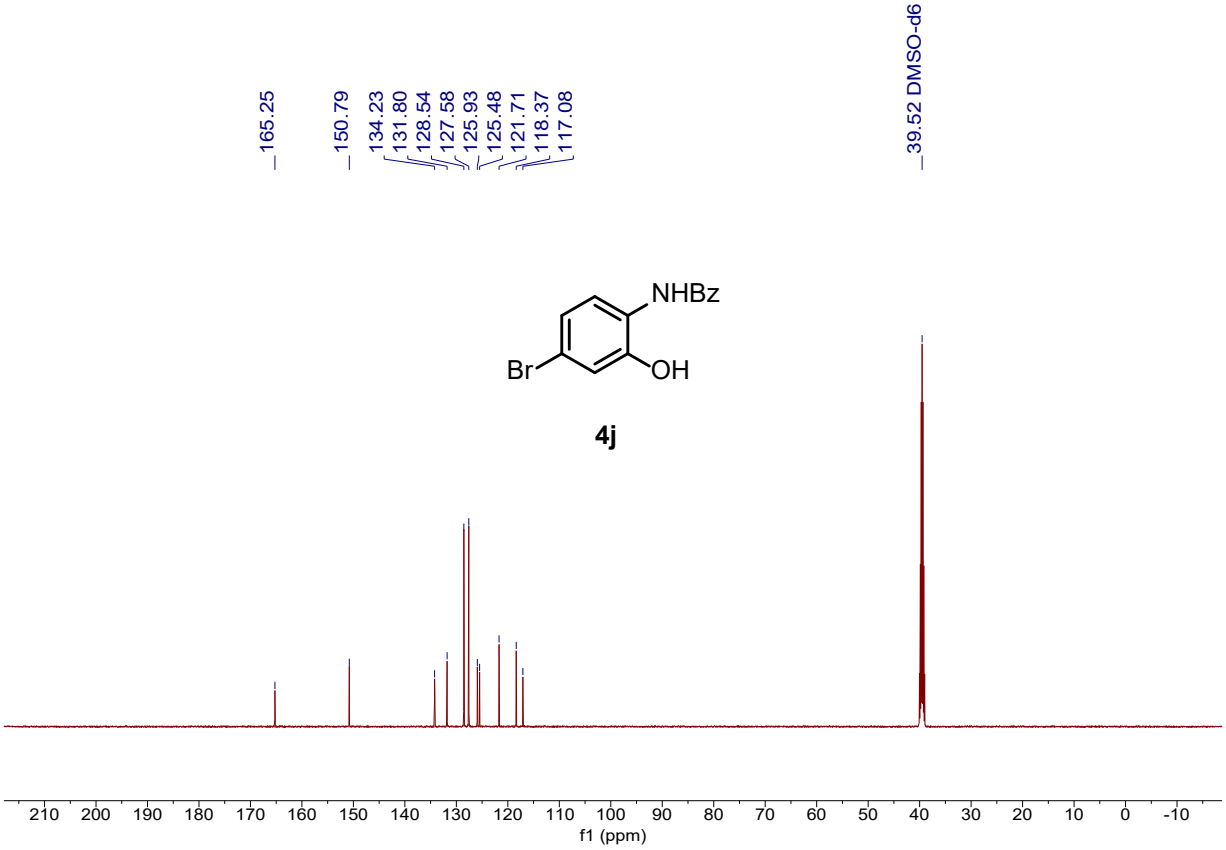


^{1}H NMR of Compound 4j (500 MHz, DMSO- d_6)

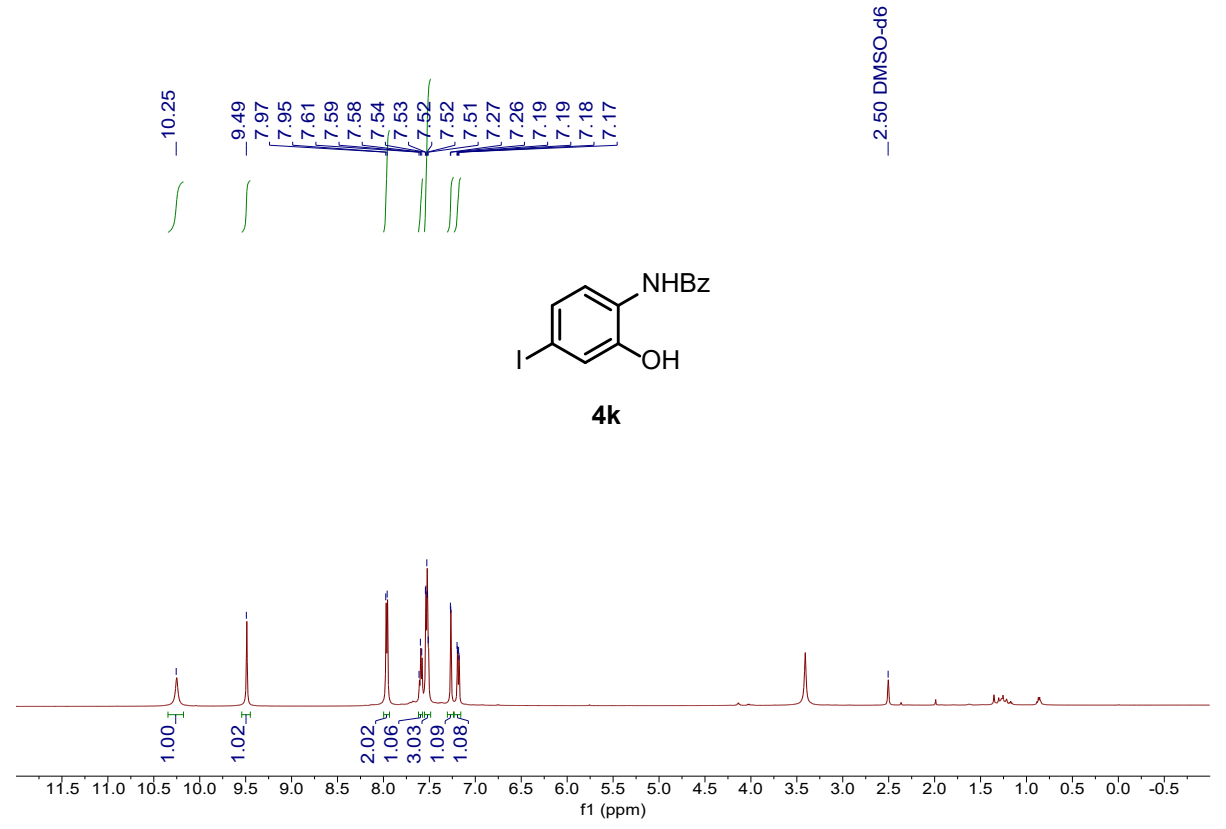


4j

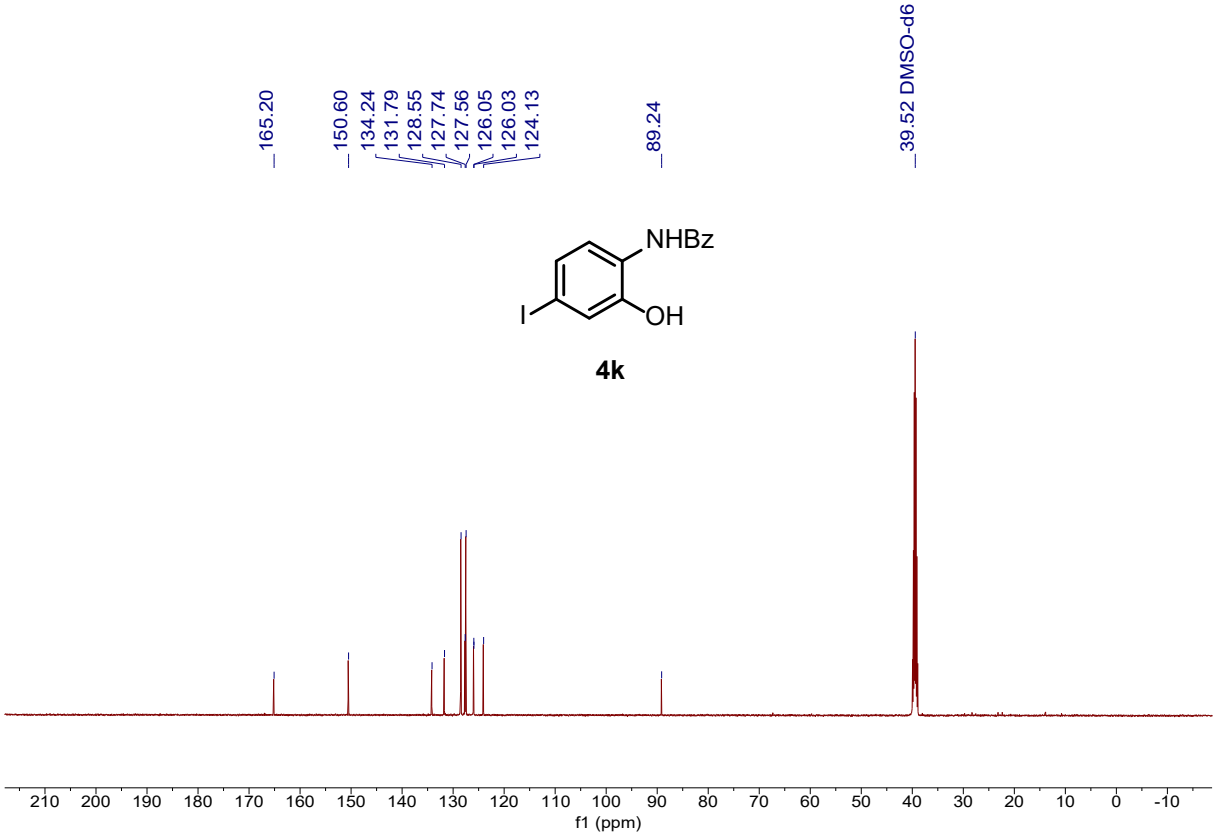
^{13}C NMR of Compound 4j (126 MHz, DMSO- d_6)



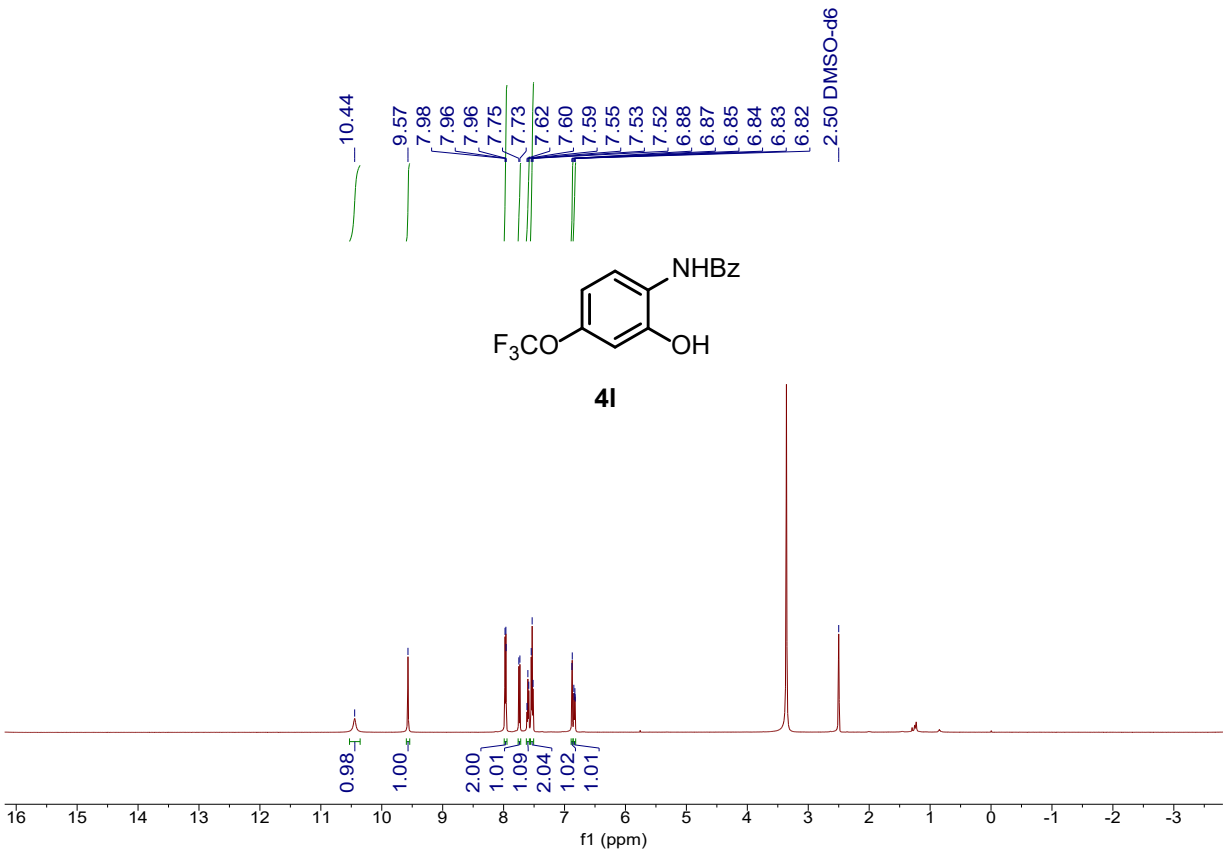
^{1}H NMR of Compound 4k (500 MHz, DMSO- d_6)



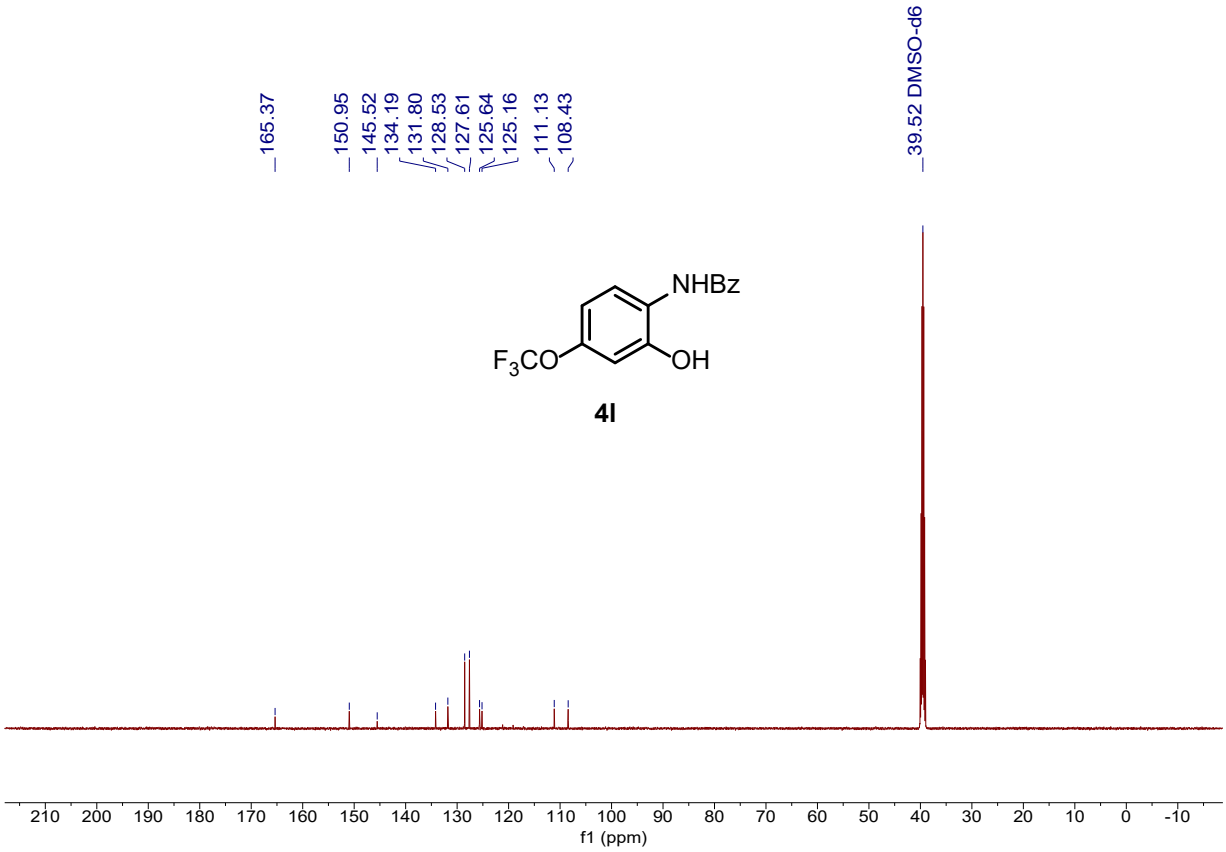
^{13}C NMR of Compound 4k (126 MHz, DMSO- d_6)



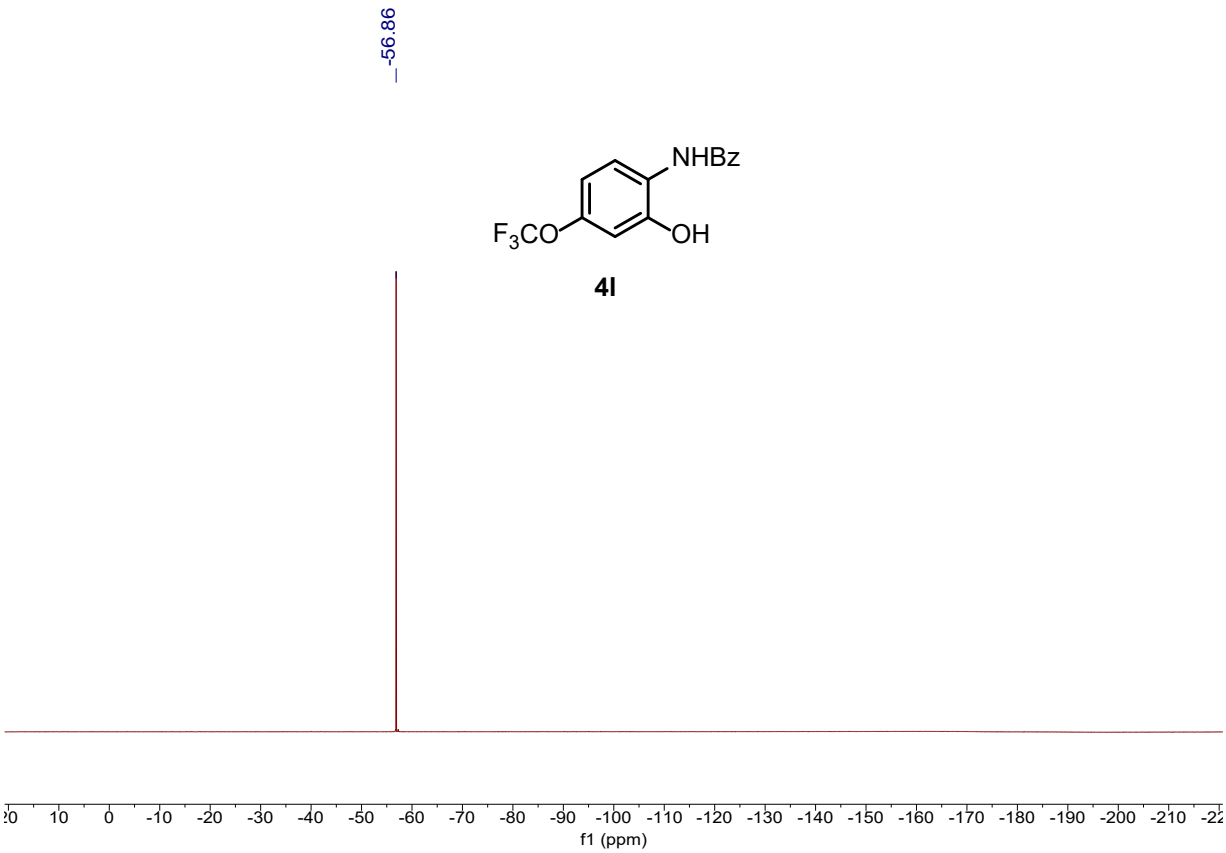
^{1}H NMR of Compound 4l (500 MHz, DMSO- d_6)



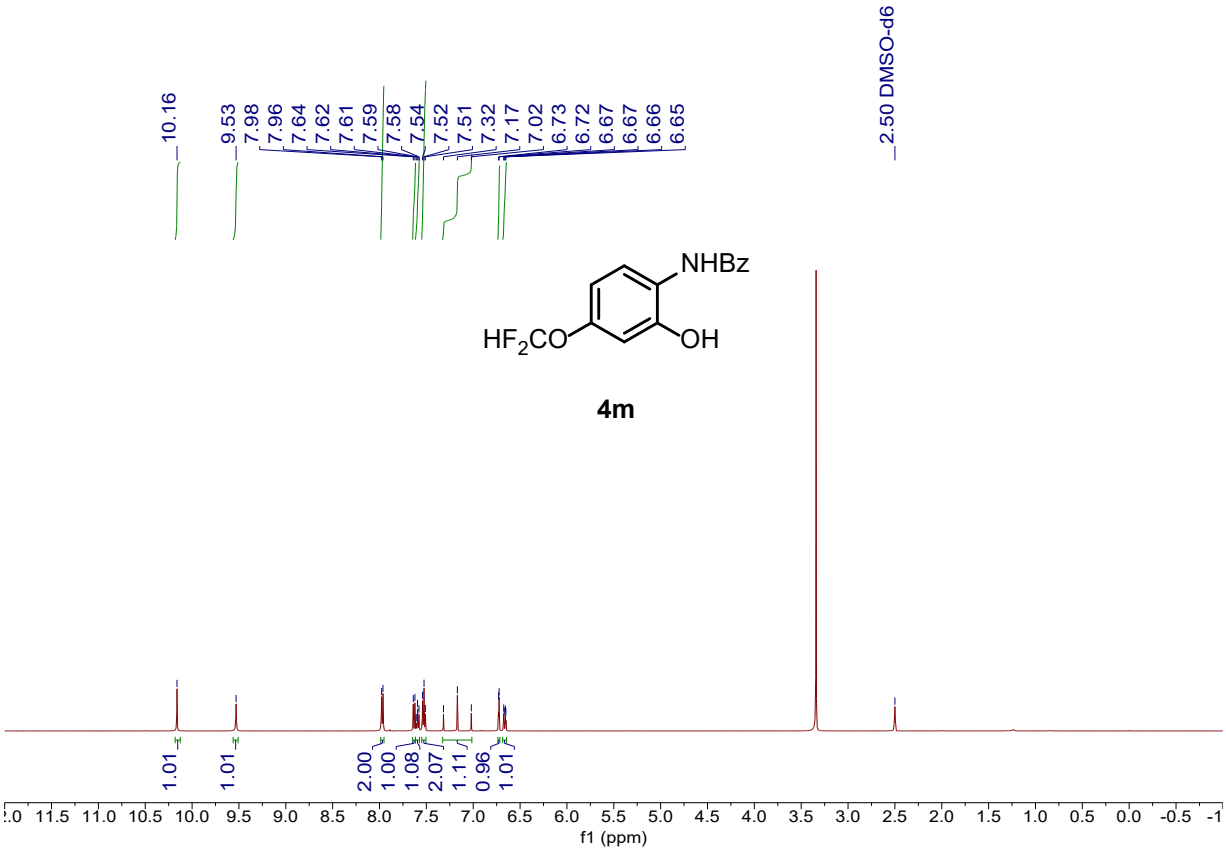
^{13}C NMR of Compound 4l (126 MHz, DMSO-d_6)



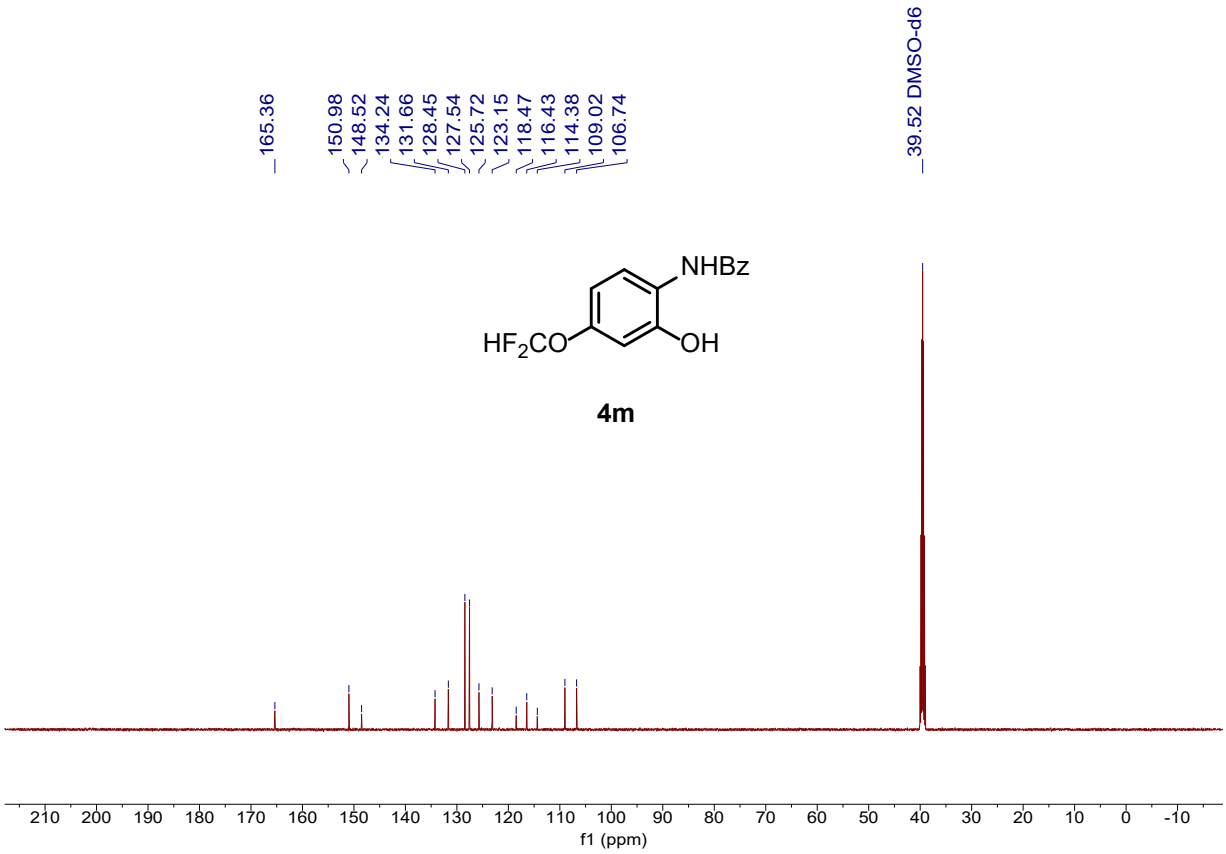
^{19}F NMR of Compound 4l (471 MHz, DMSO- d_6)



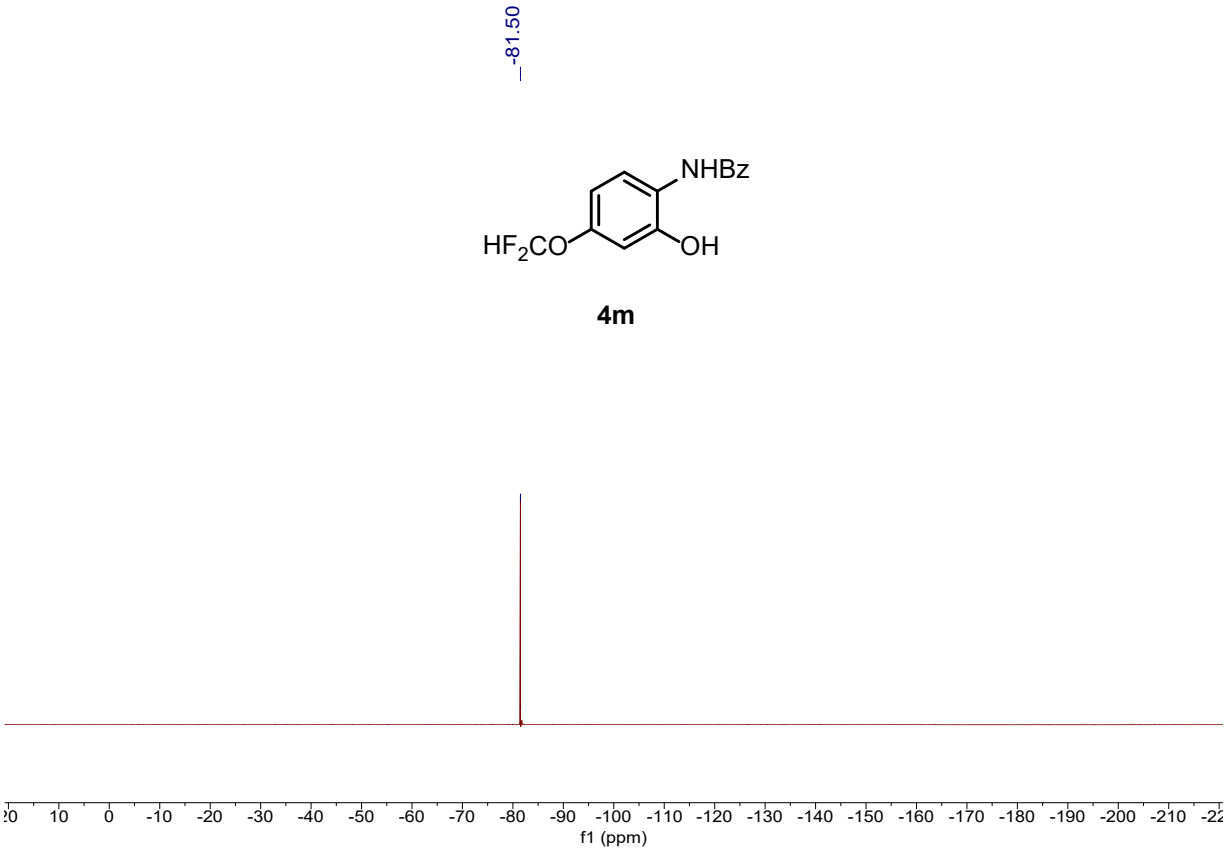
^{1}H NMR of Compound 4m (500 MHz, DMSO- d_6)



^{13}C NMR of Compound 4m (126 MHz, DMSO- d_6)

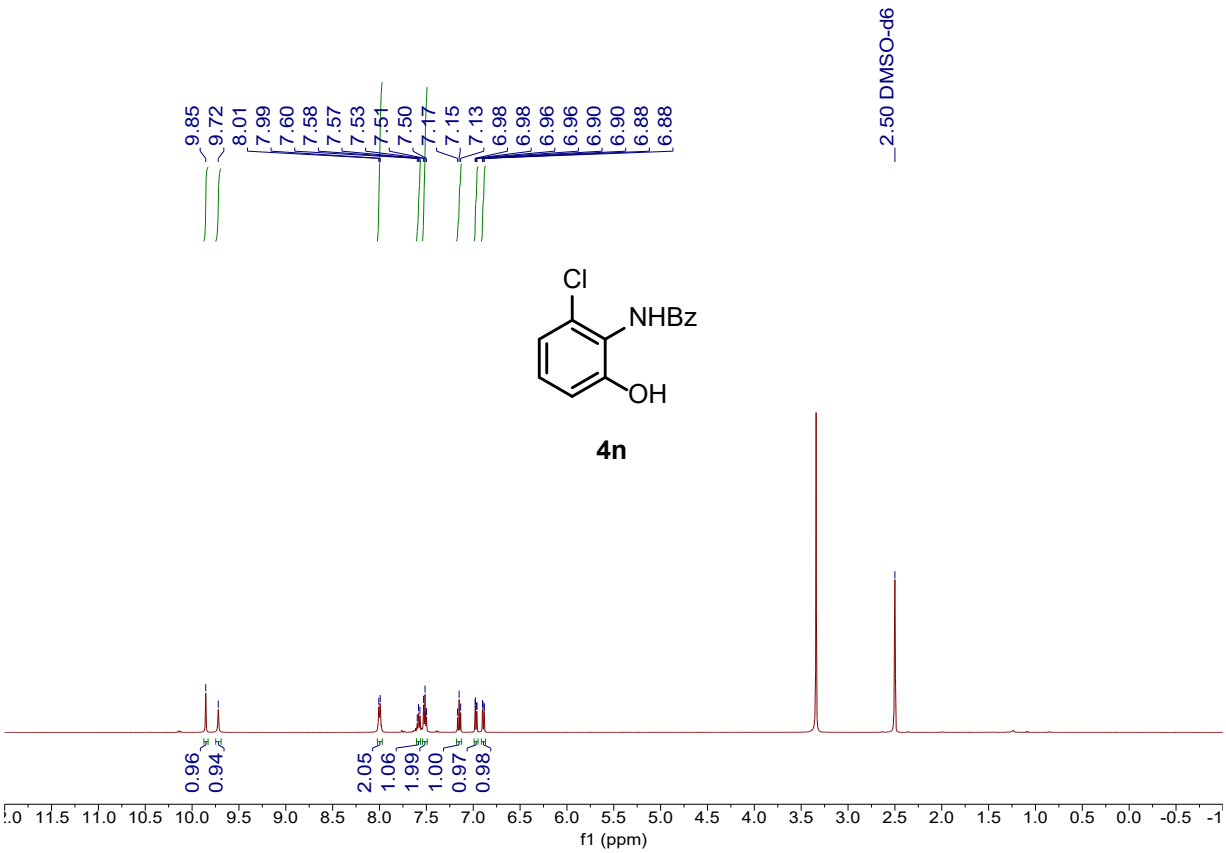


^{19}F NMR of Compound 4m (471 MHz, DMSO- d_6)



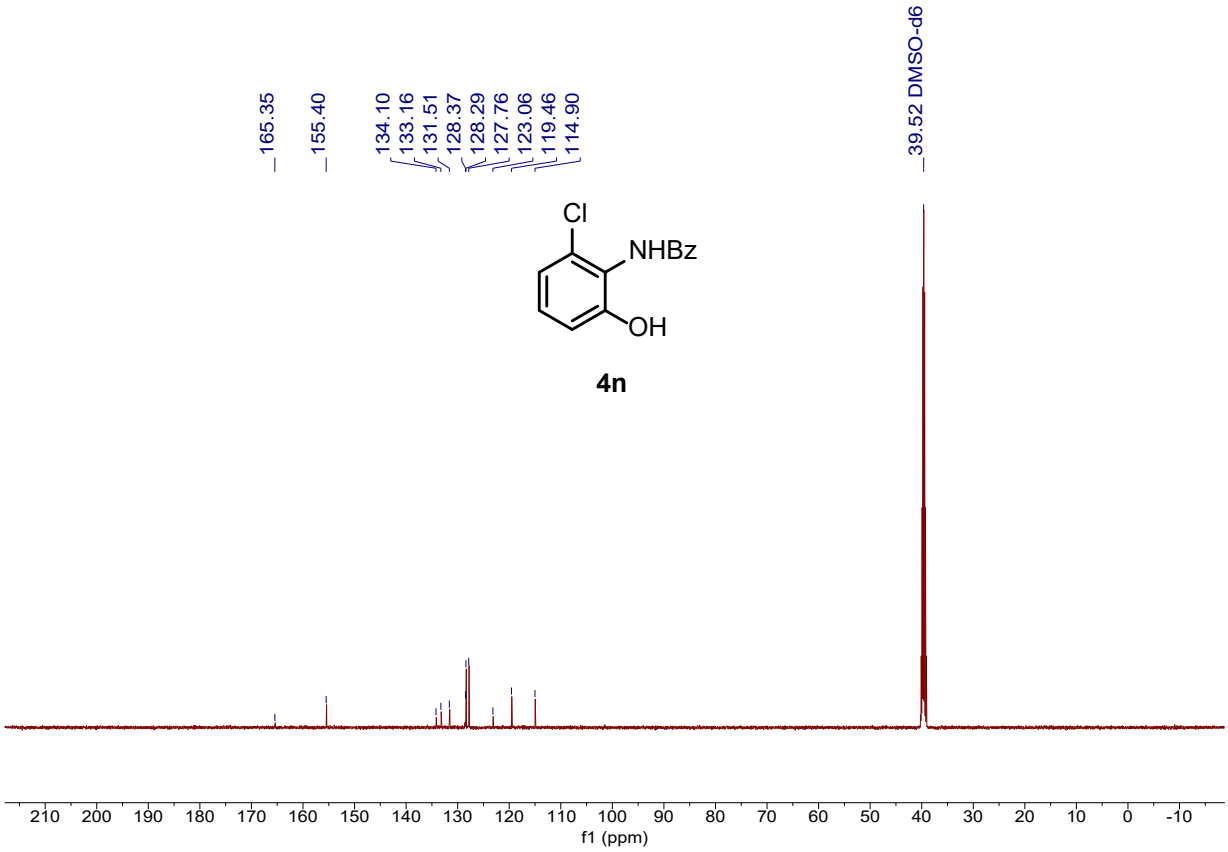
4m

^{1}H NMR of Compound 4n (500 MHz, DMSO- d_6)

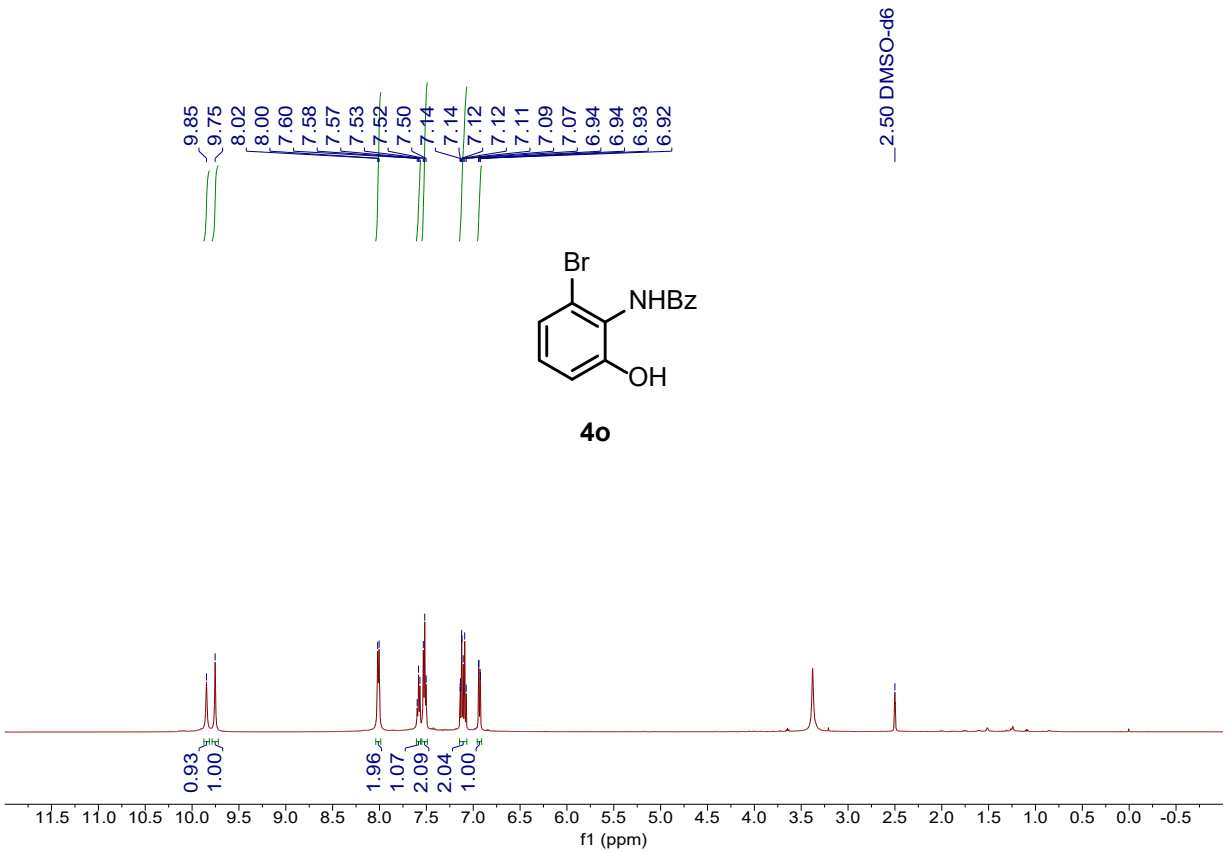


4n

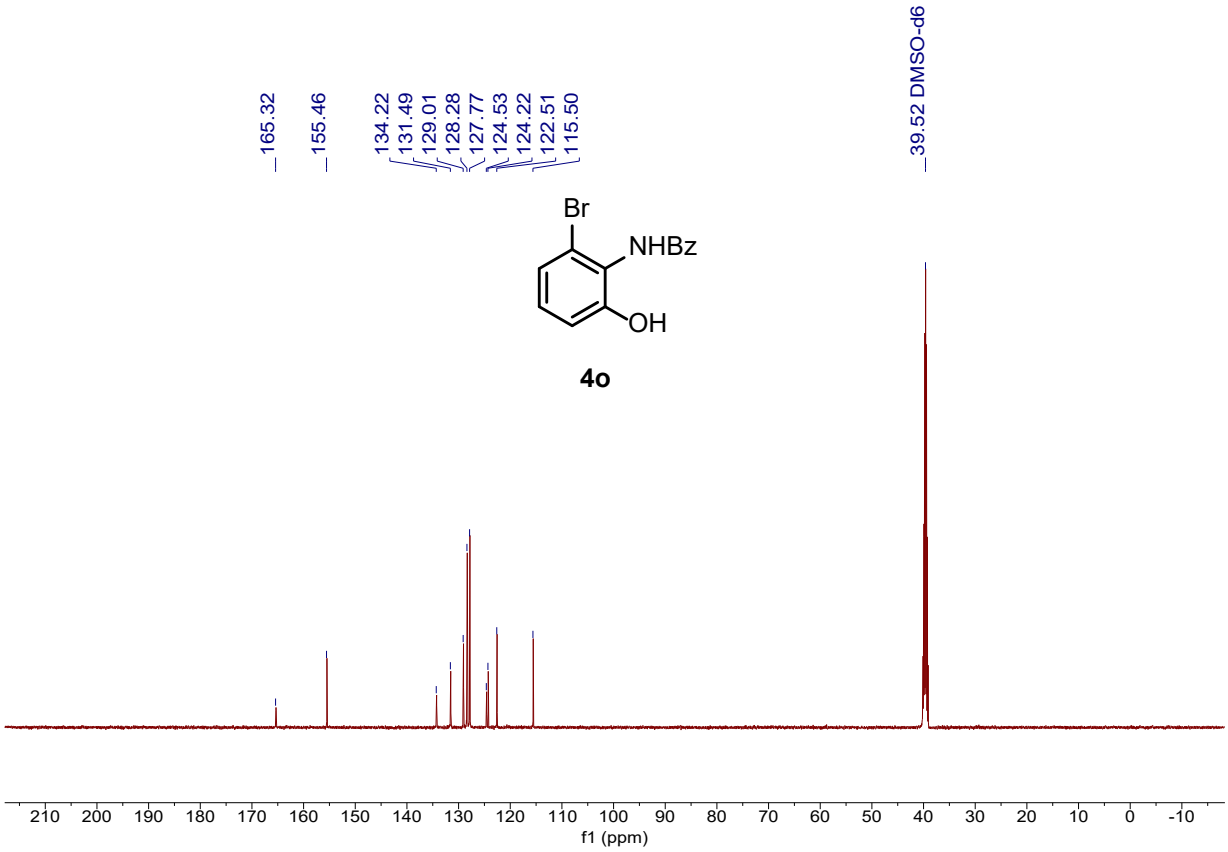
^{13}C NMR of Compound 4n (126 MHz, DMSO- d_6)



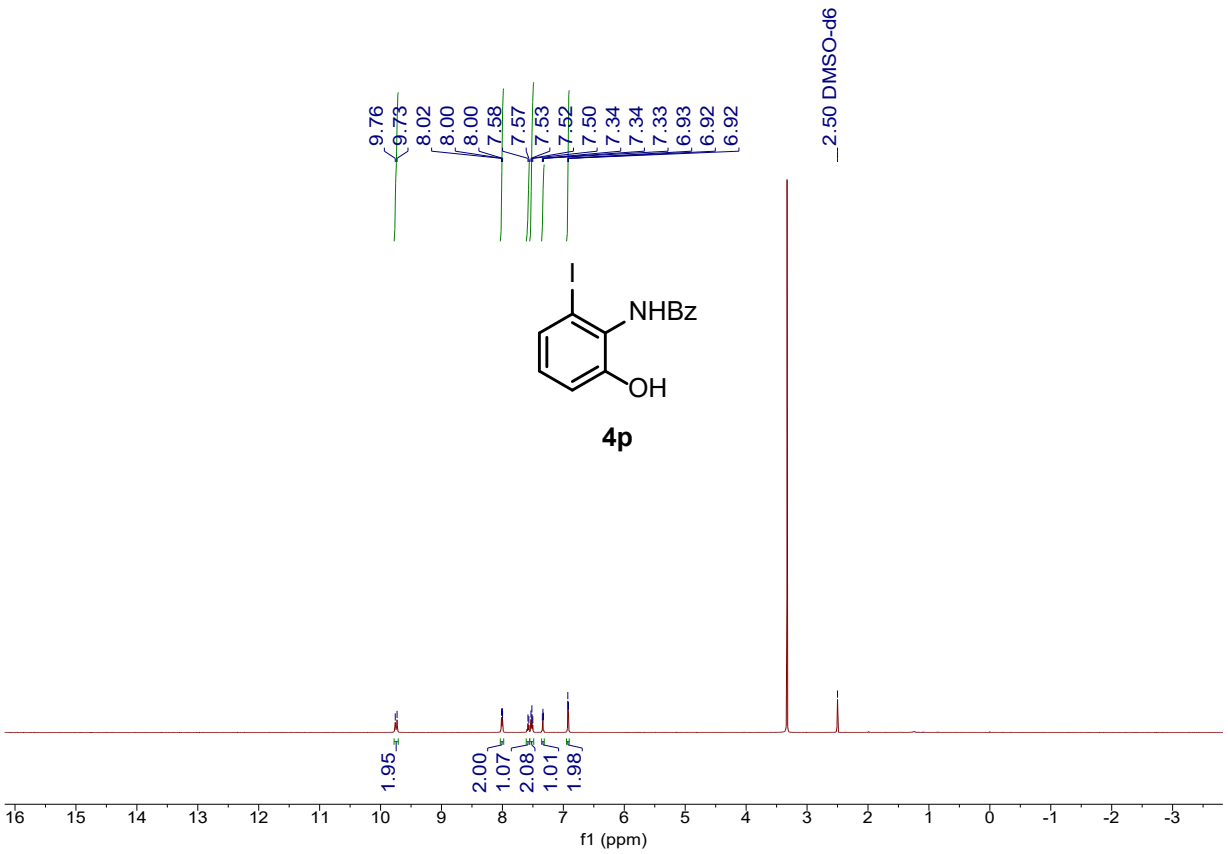
^{1}H NMR of Compound 4o (500 MHz, DMSO- d_6)



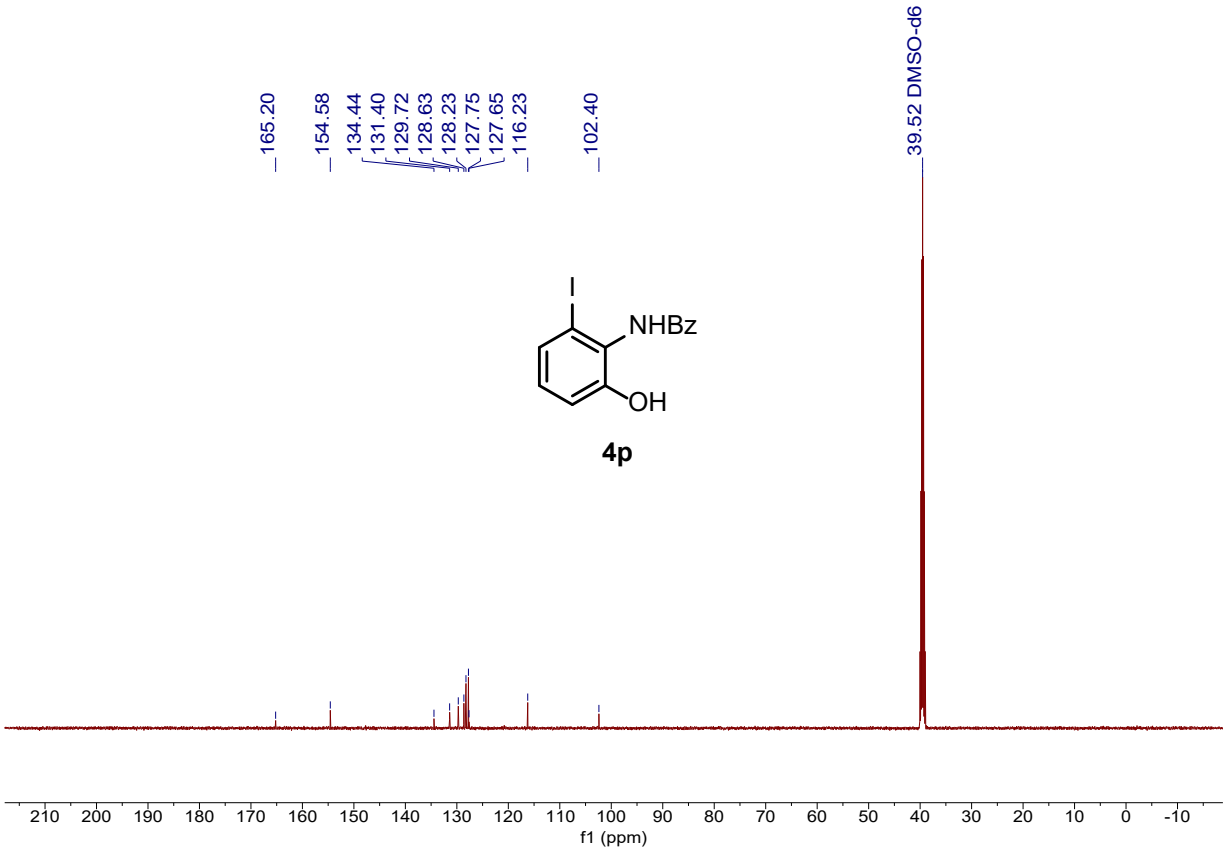
^{13}C NMR of Compound 4o (126 MHz, DMSO- d_6)



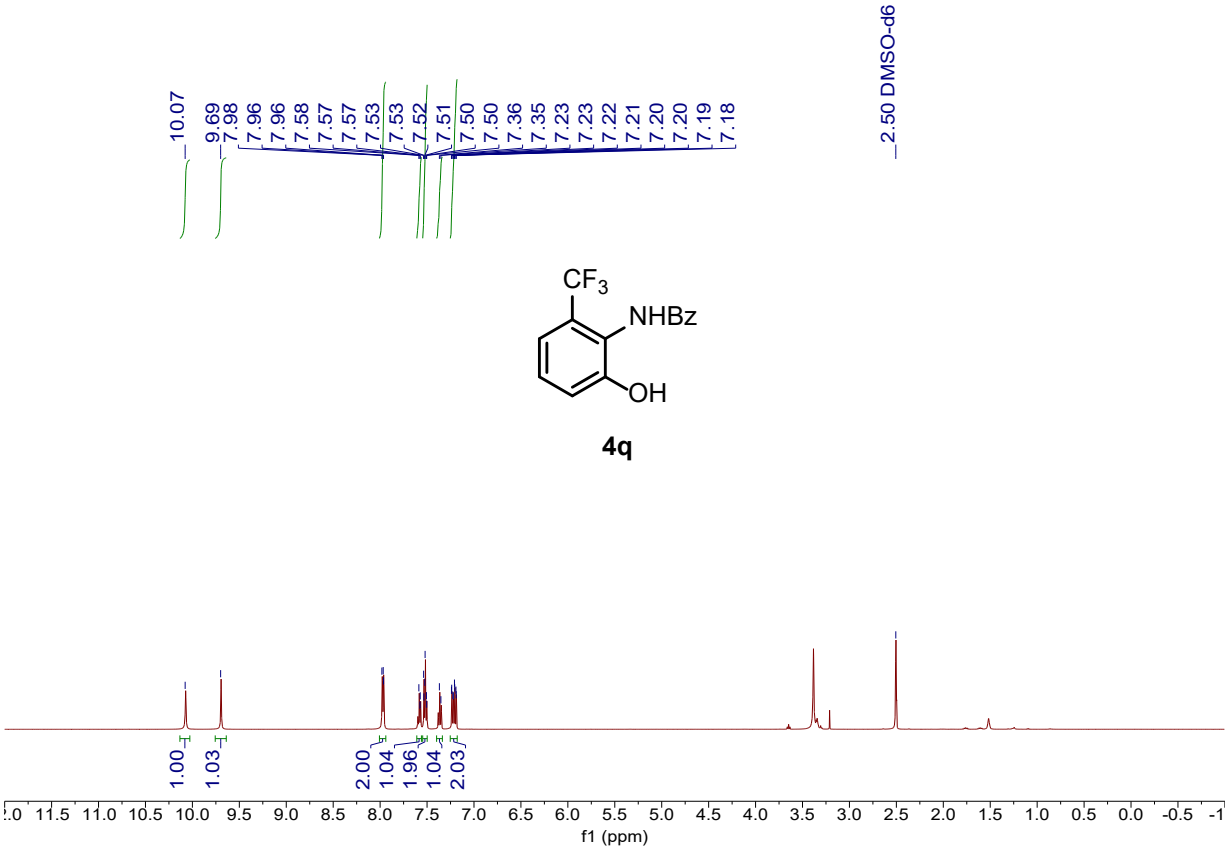
^{1}H NMR of Compound 4p (500 MHz, DMSO- d_6)



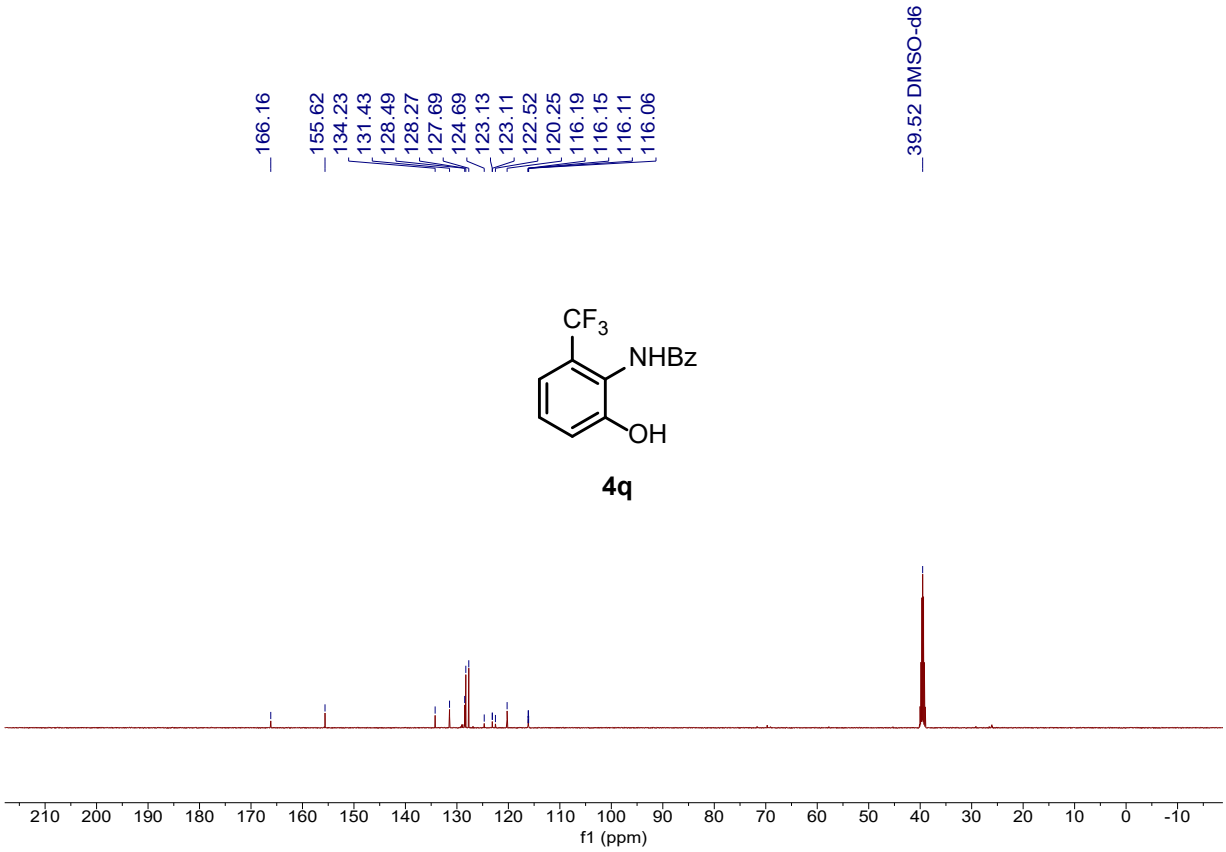
^{13}C NMR of Compound 4p (126 MHz, DMSO- d_6)



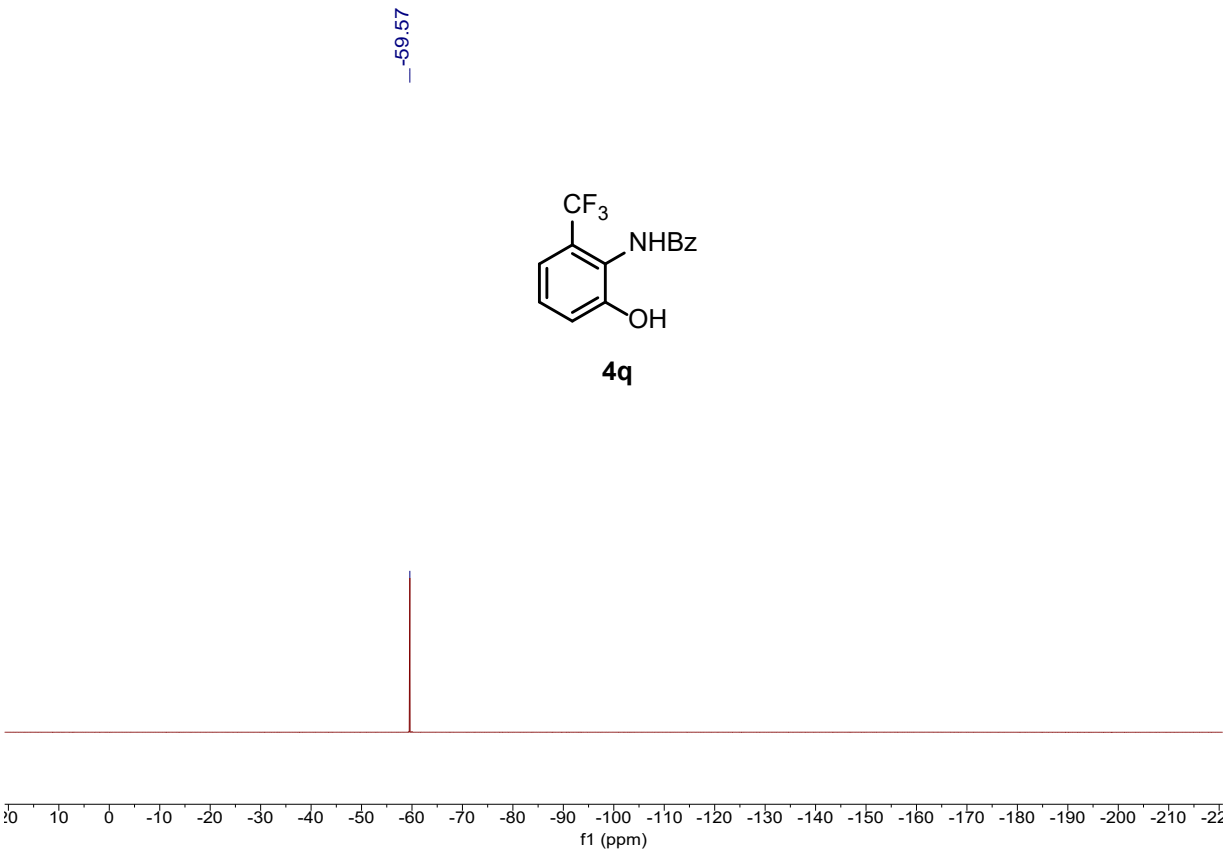
^{1}H NMR of Compound 4q (500 MHz, DMSO- d_6)



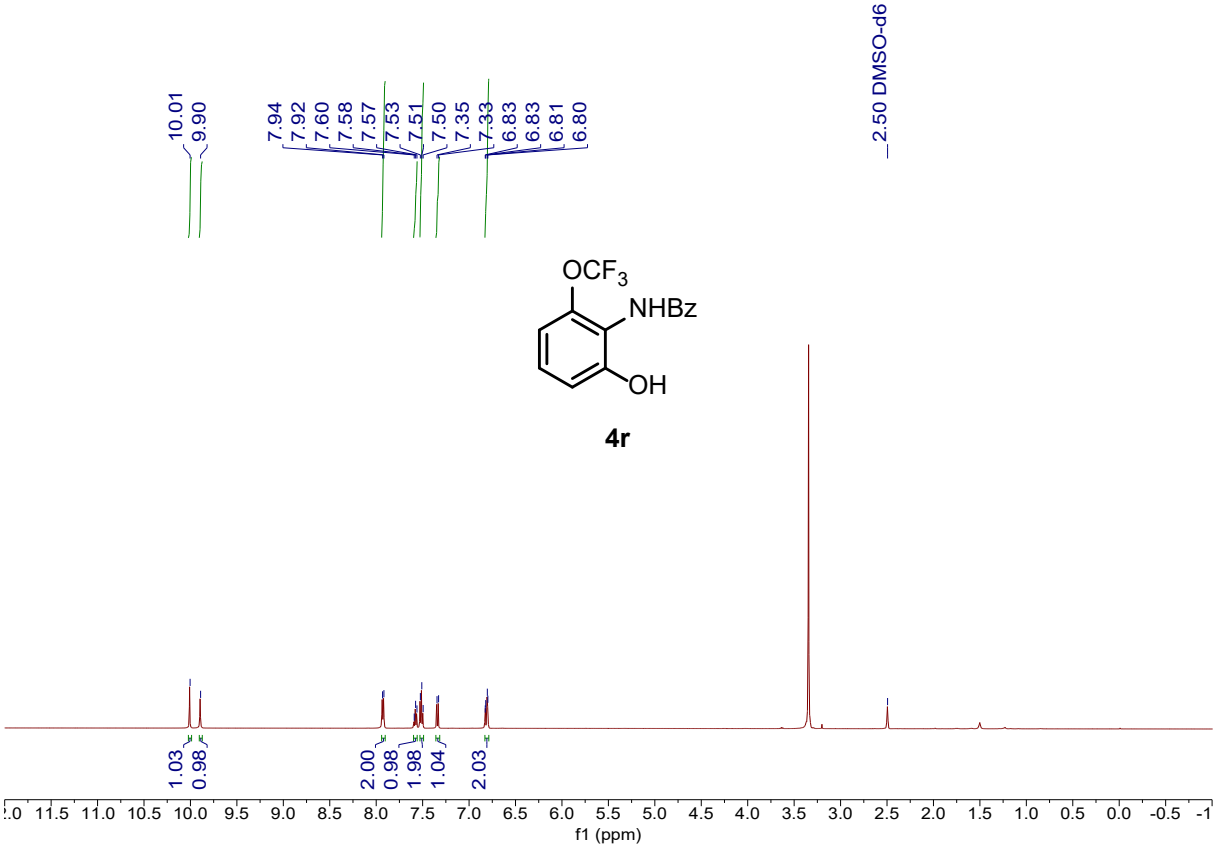
^{13}C NMR of Compound 4q (126 MHz, DMSO- d_6)



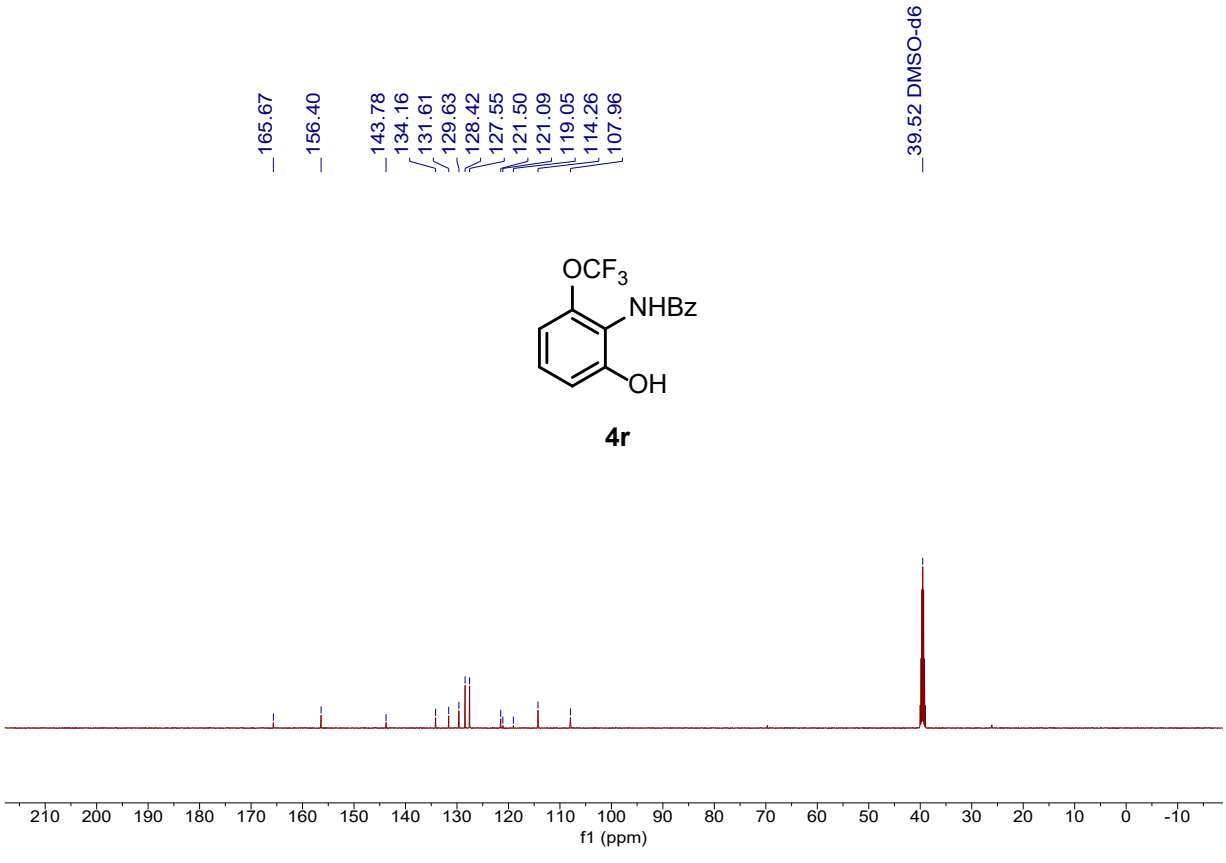
^{19}F NMR of Compound 4q (471 MHz, DMSO- d_6)



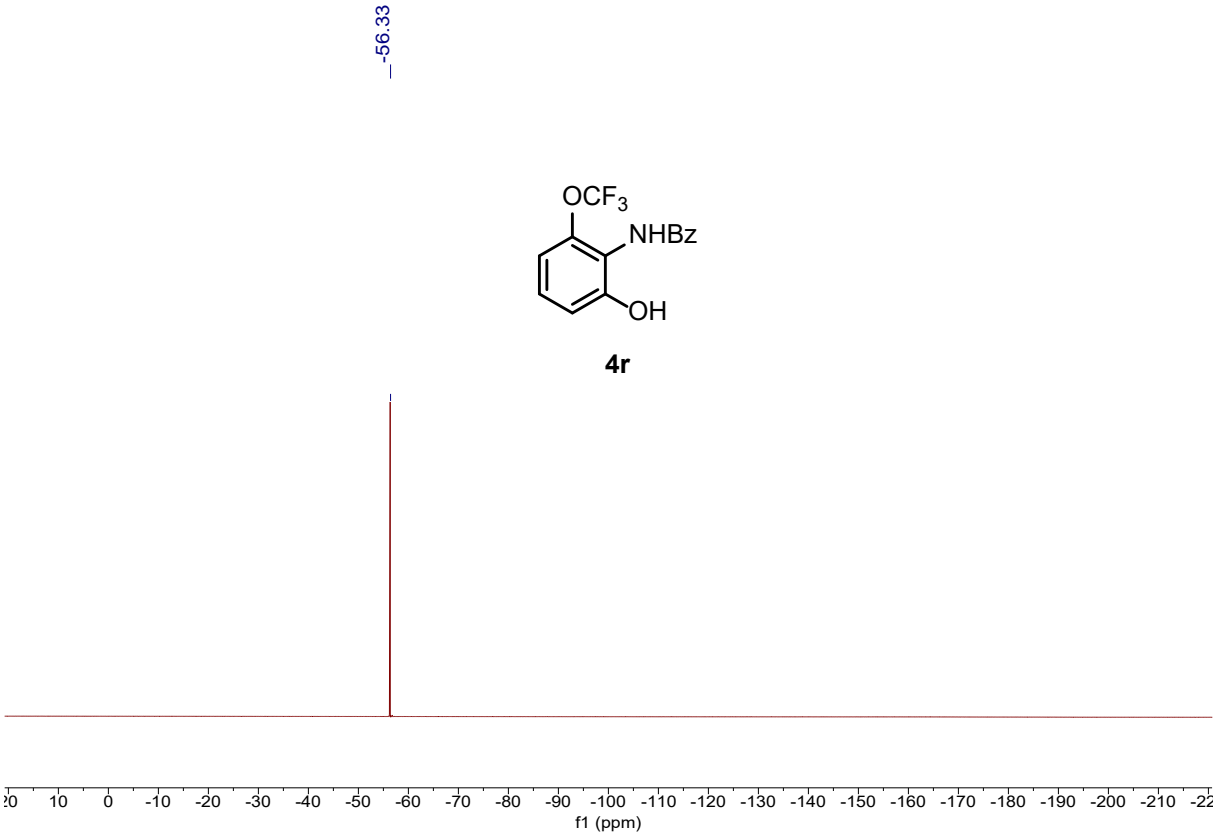
^{1}H NMR of Compound 4r (500 MHz, DMSO- d_6)



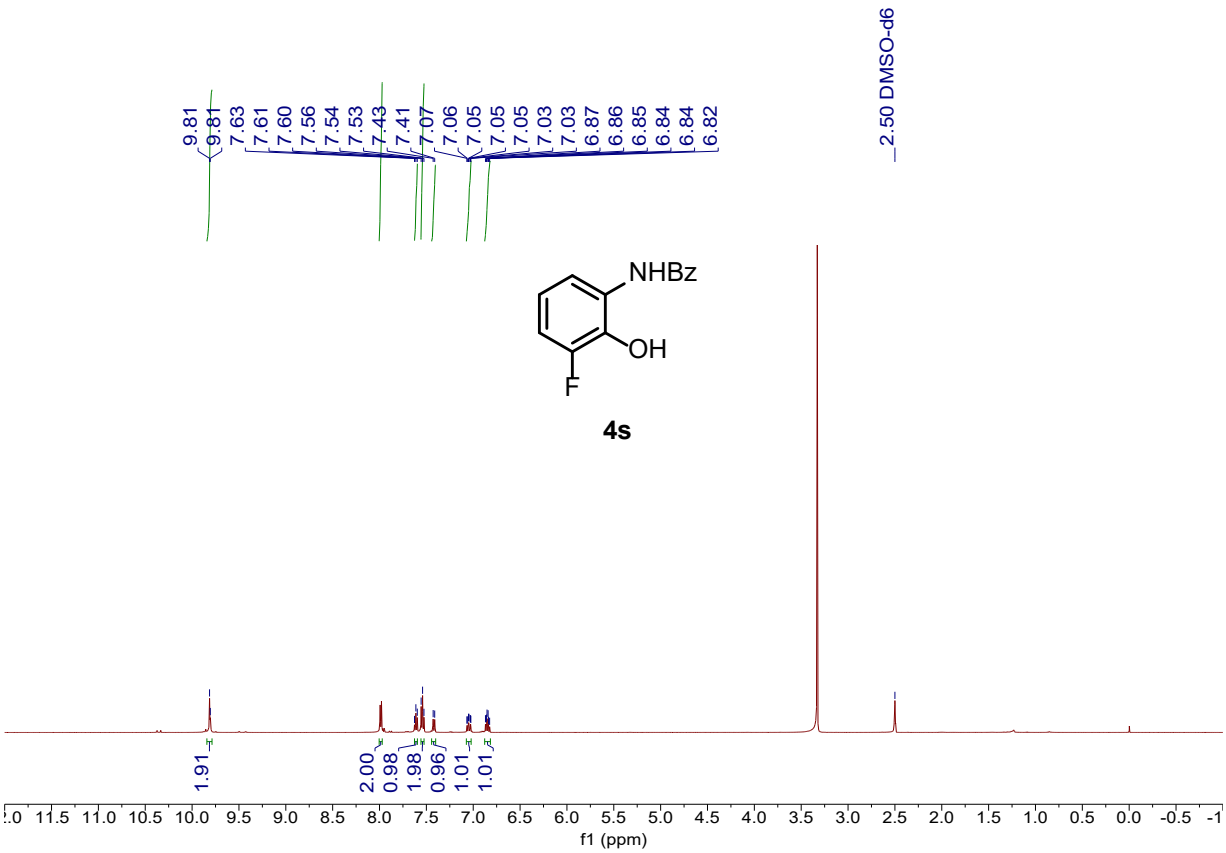
^{13}C NMR of Compound 4r (126 MHz, DMSO- d_6)



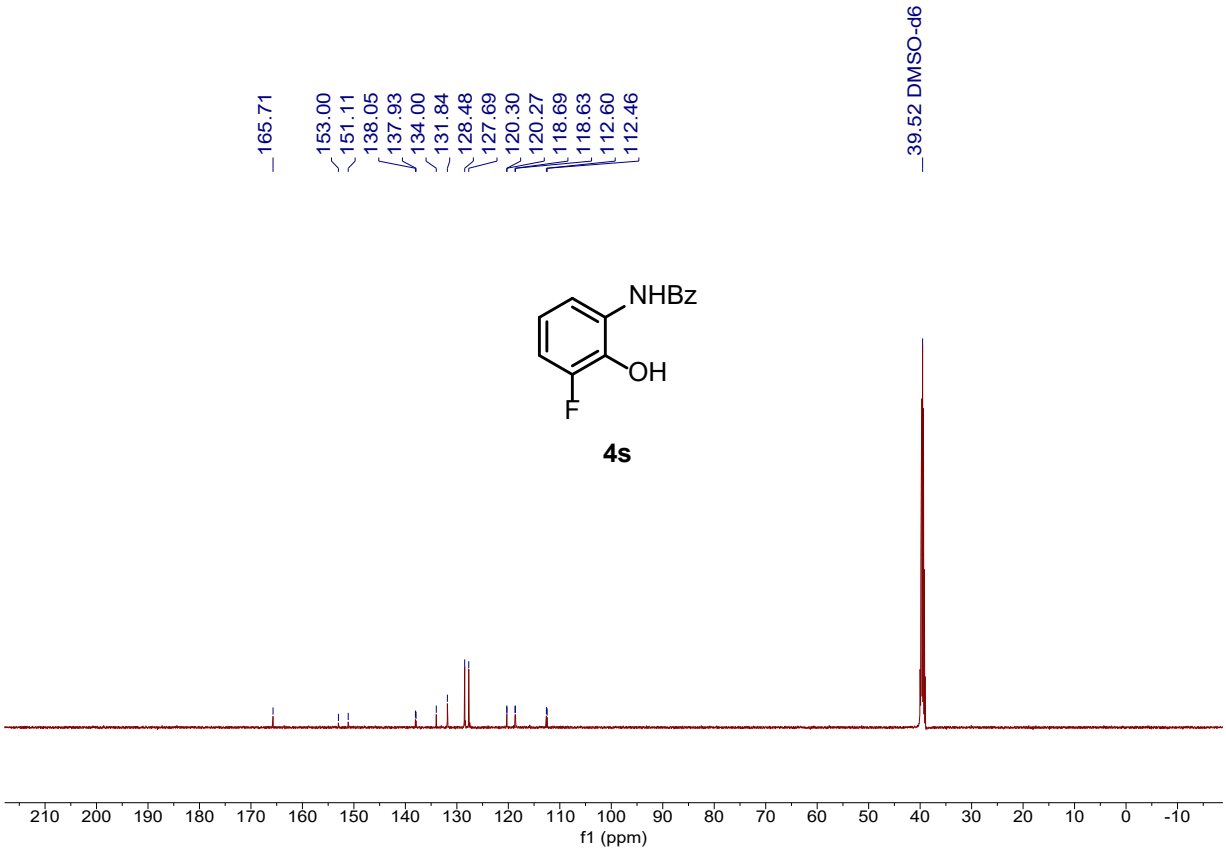
^{19}F NMR of Compound 4r (471 MHz, DMSO- d_6)



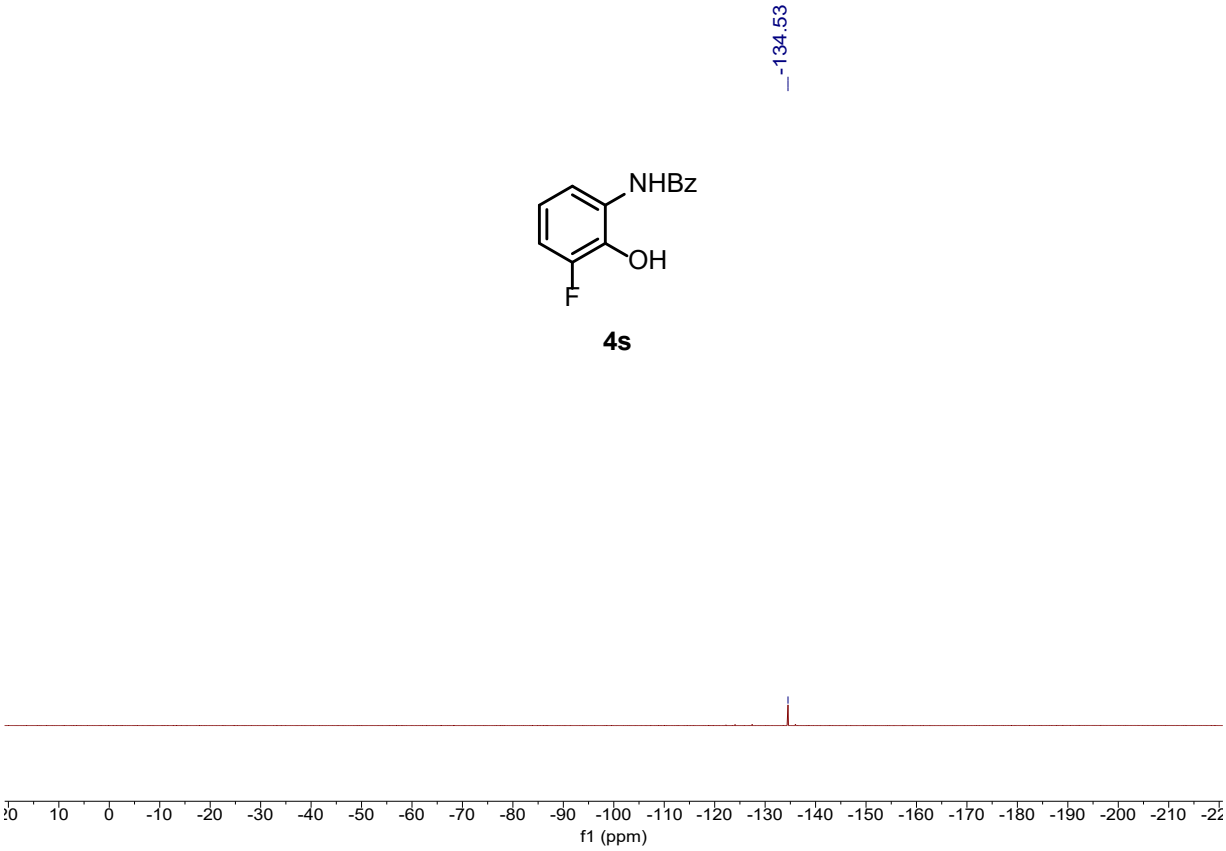
^{1}H NMR of Compound 4s (500 MHz, DMSO- d_6)



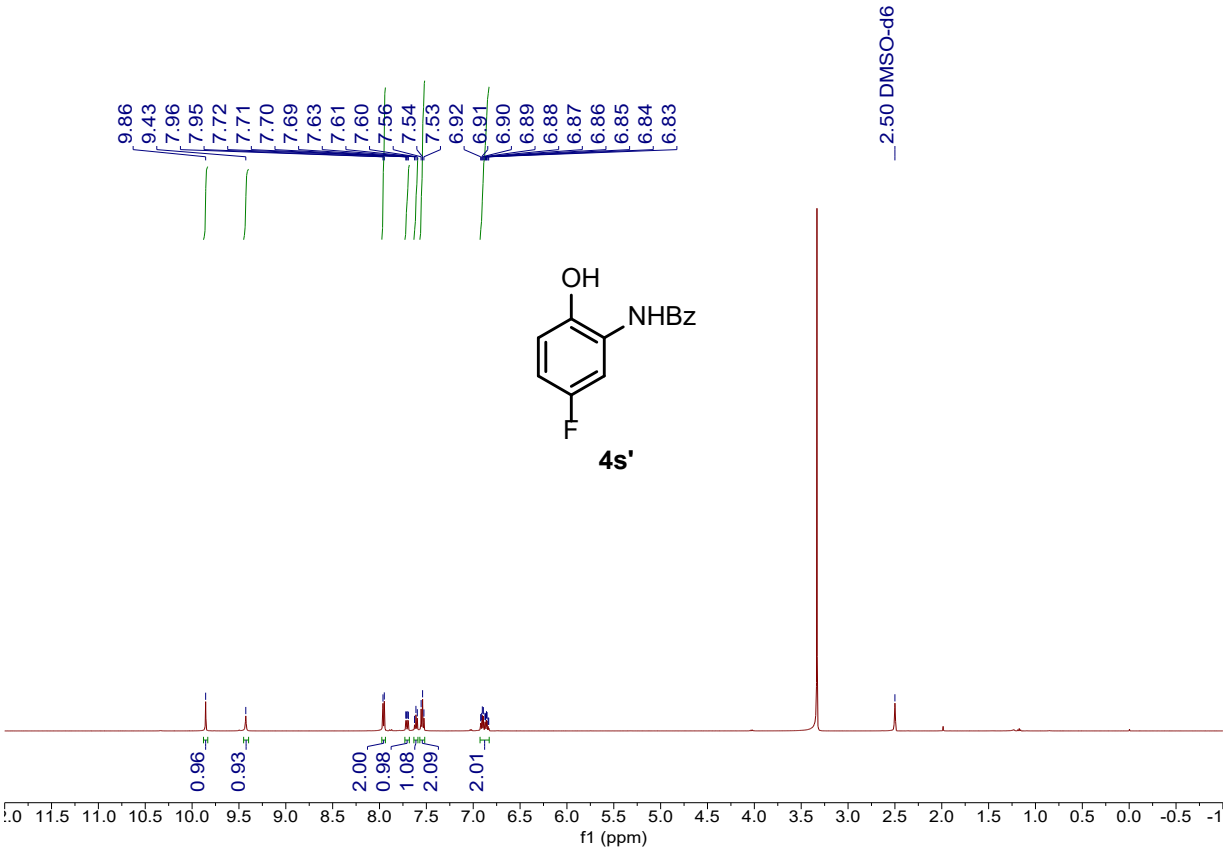
^{13}C NMR of Compound 4s (126 MHz, DMSO- d_6)



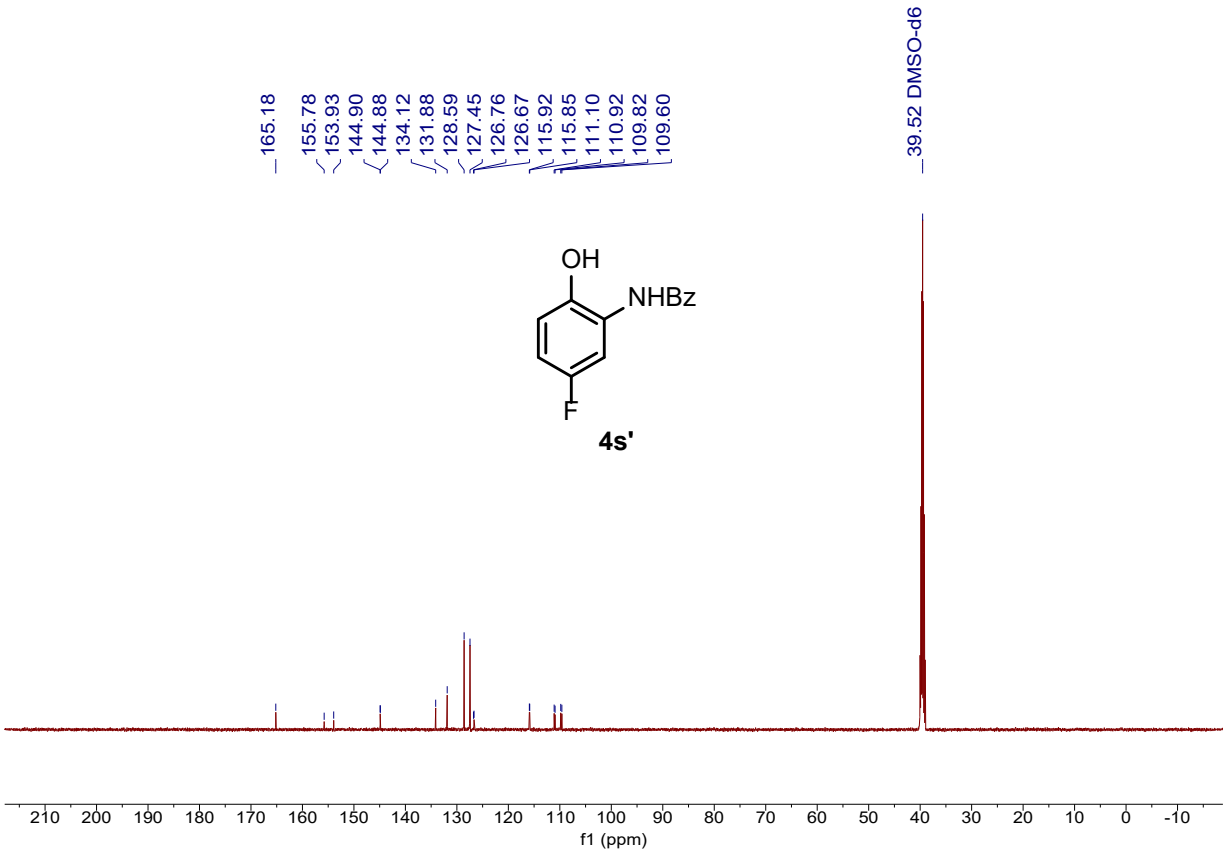
^{19}F NMR of Compound 4s (471 MHz, DMSO- d_6)



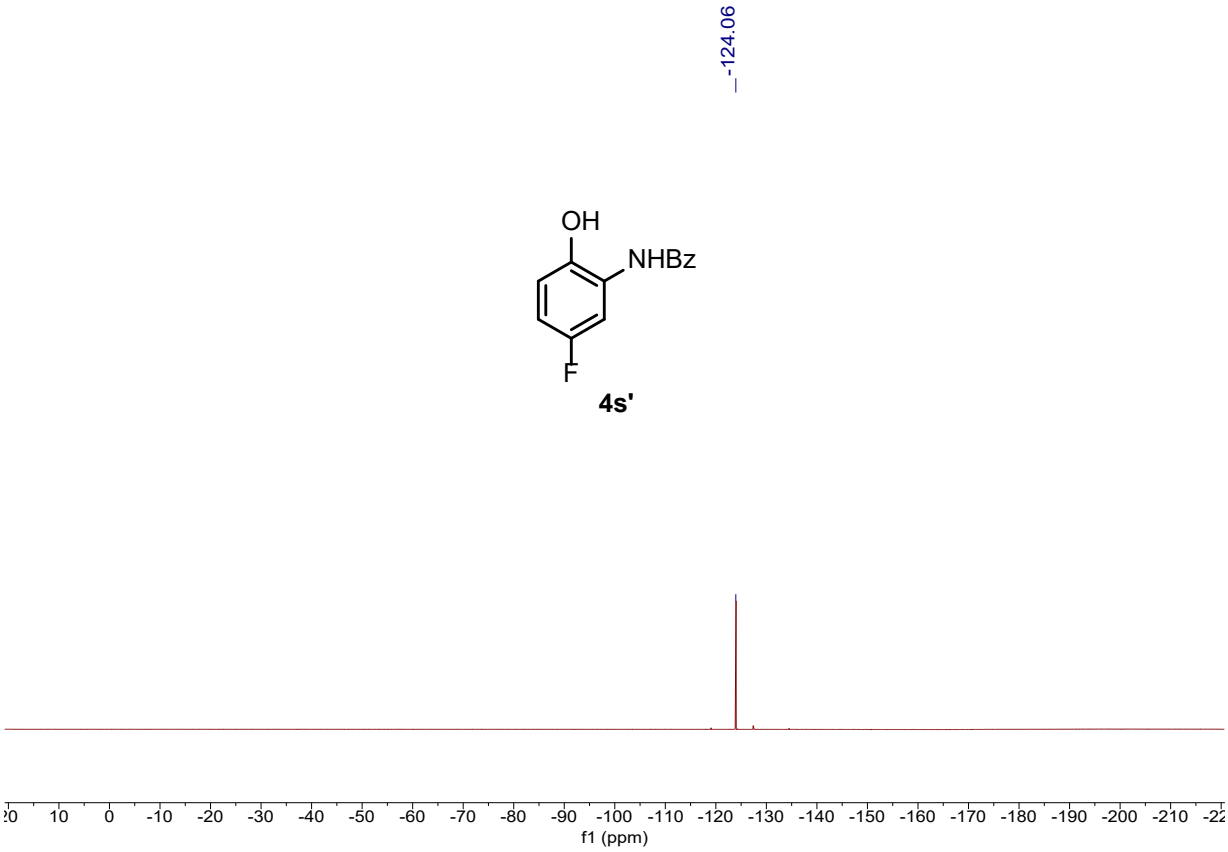
^{1}H NMR of Compound 4s' (500 MHz, DMSO- d_6)



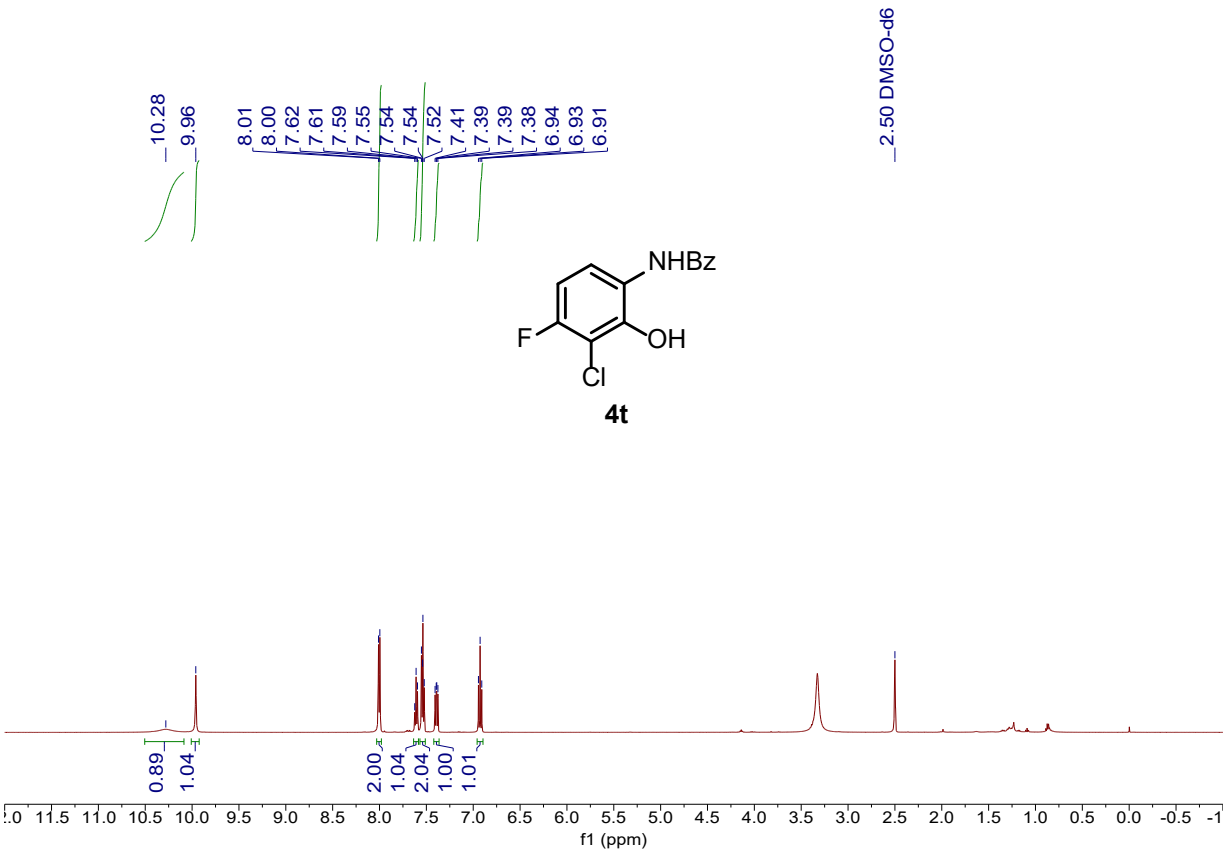
^{13}C NMR of Compound 4s' (126 MHz, DMSO- d_6)



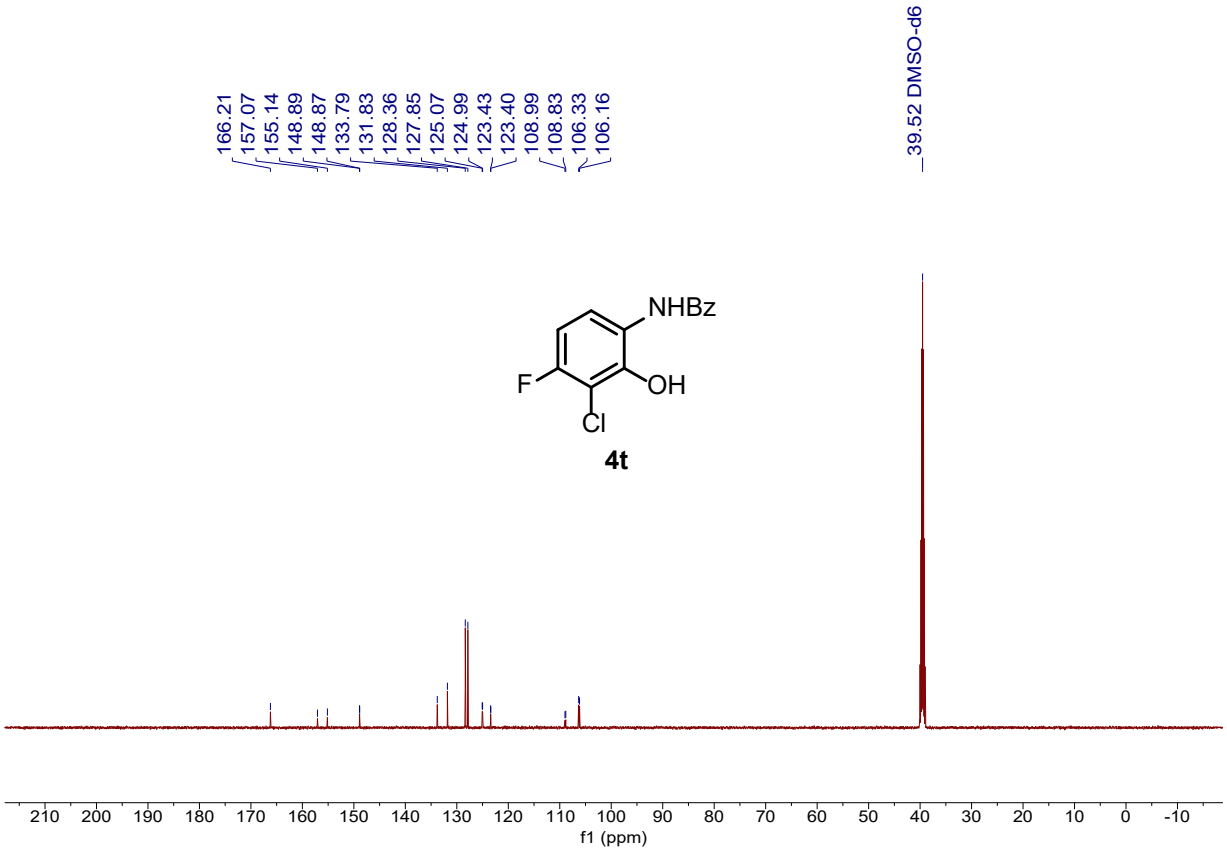
^{19}F NMR of Compound 4s' (471 MHz, DMSO- d_6)



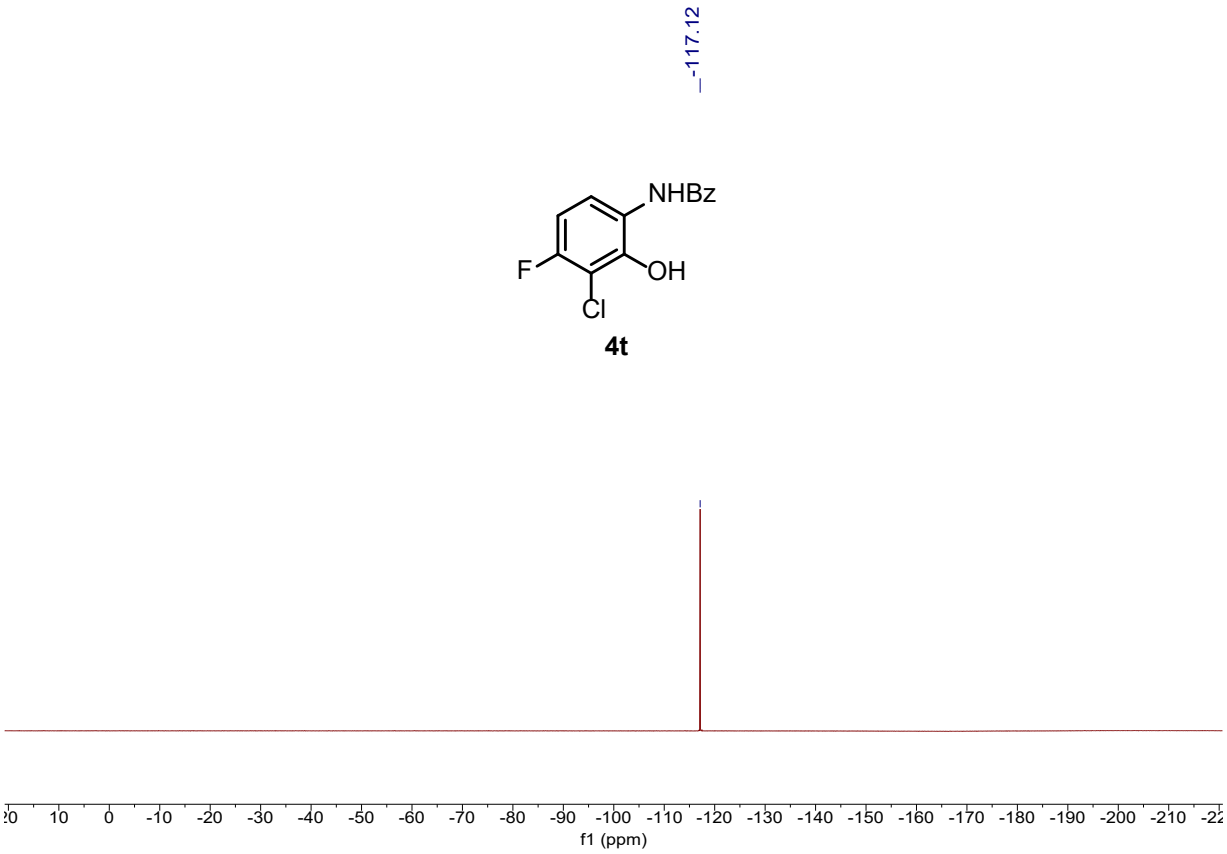
^{1}H NMR of Compound 4t (500 MHz, DMSO- d_6)



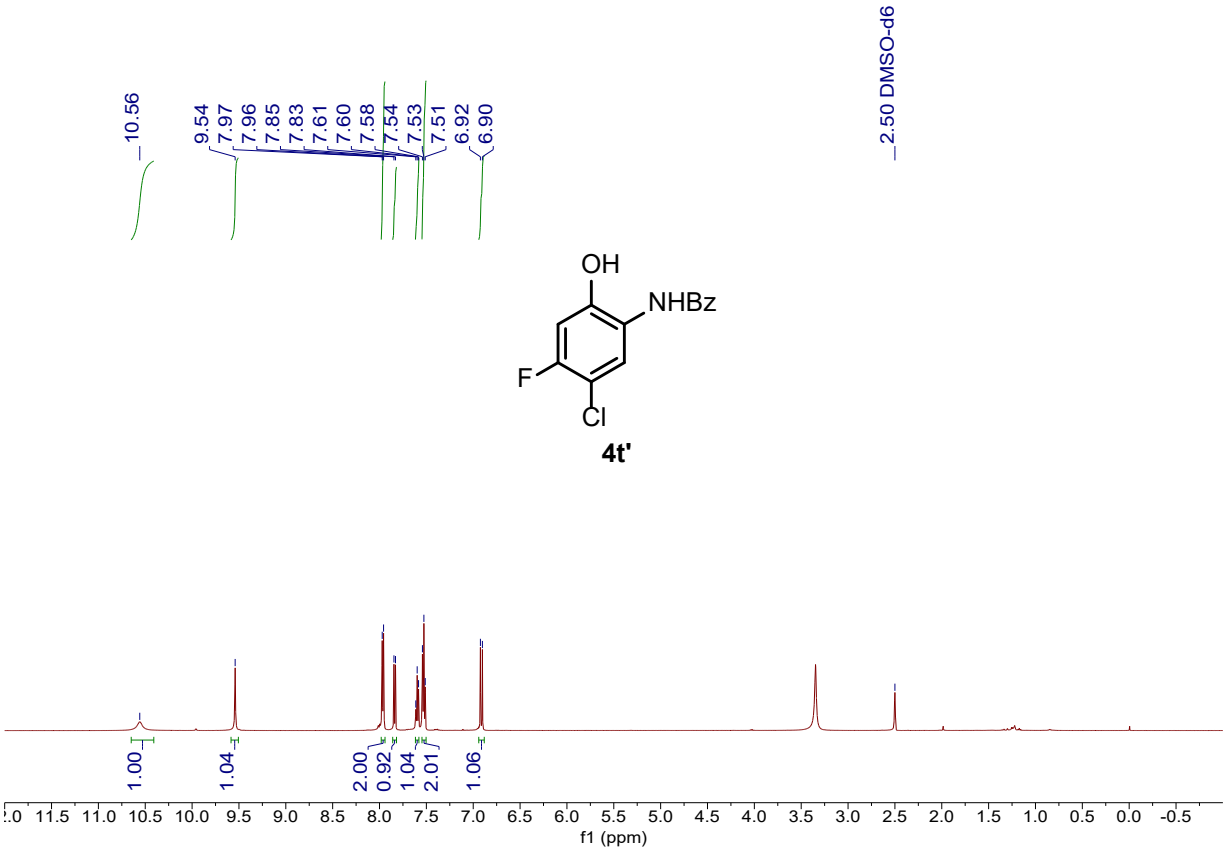
^{13}C NMR of Compound 4t (126 MHz, DMSO- d_6)



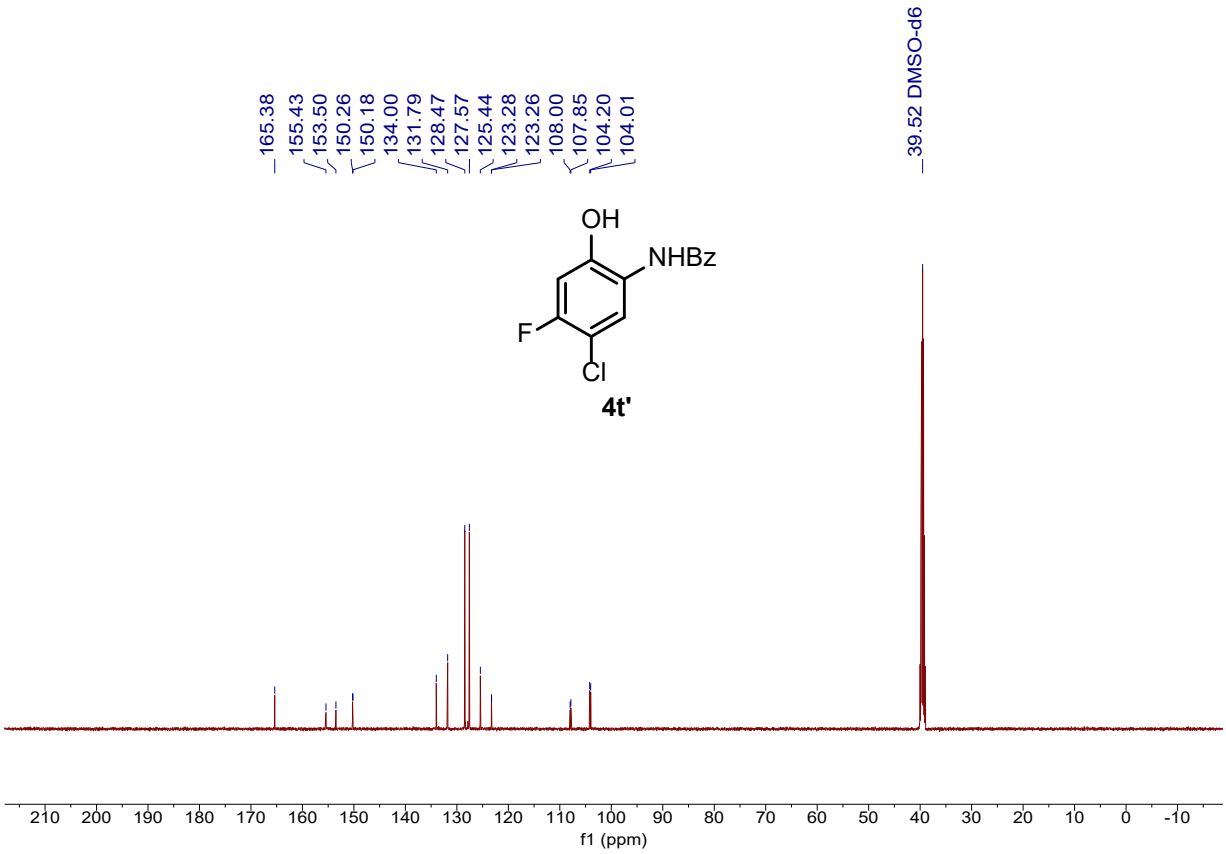
^{19}F NMR of Compound 4t (471 MHz, DMSO- d_6)



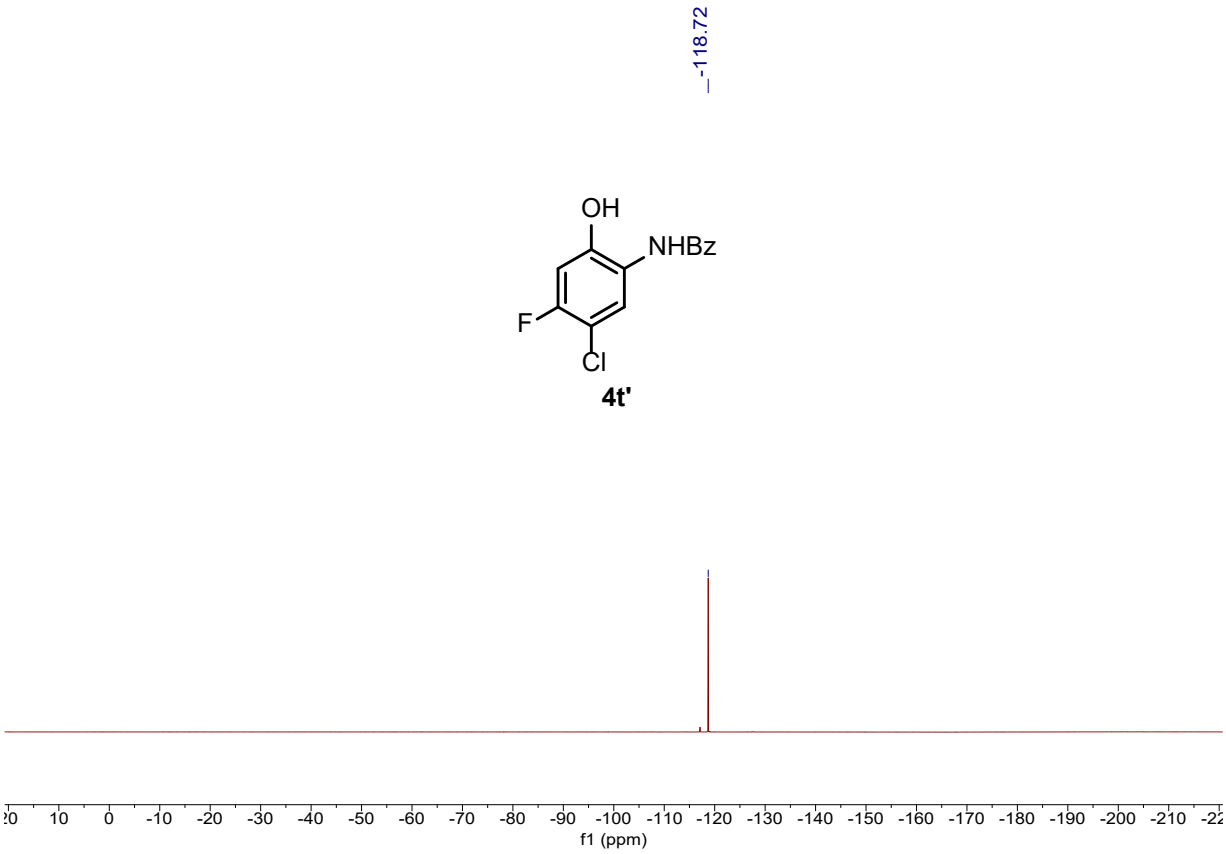
^{1}H NMR of Compound 4t' (500 MHz, DMSO- d_6)



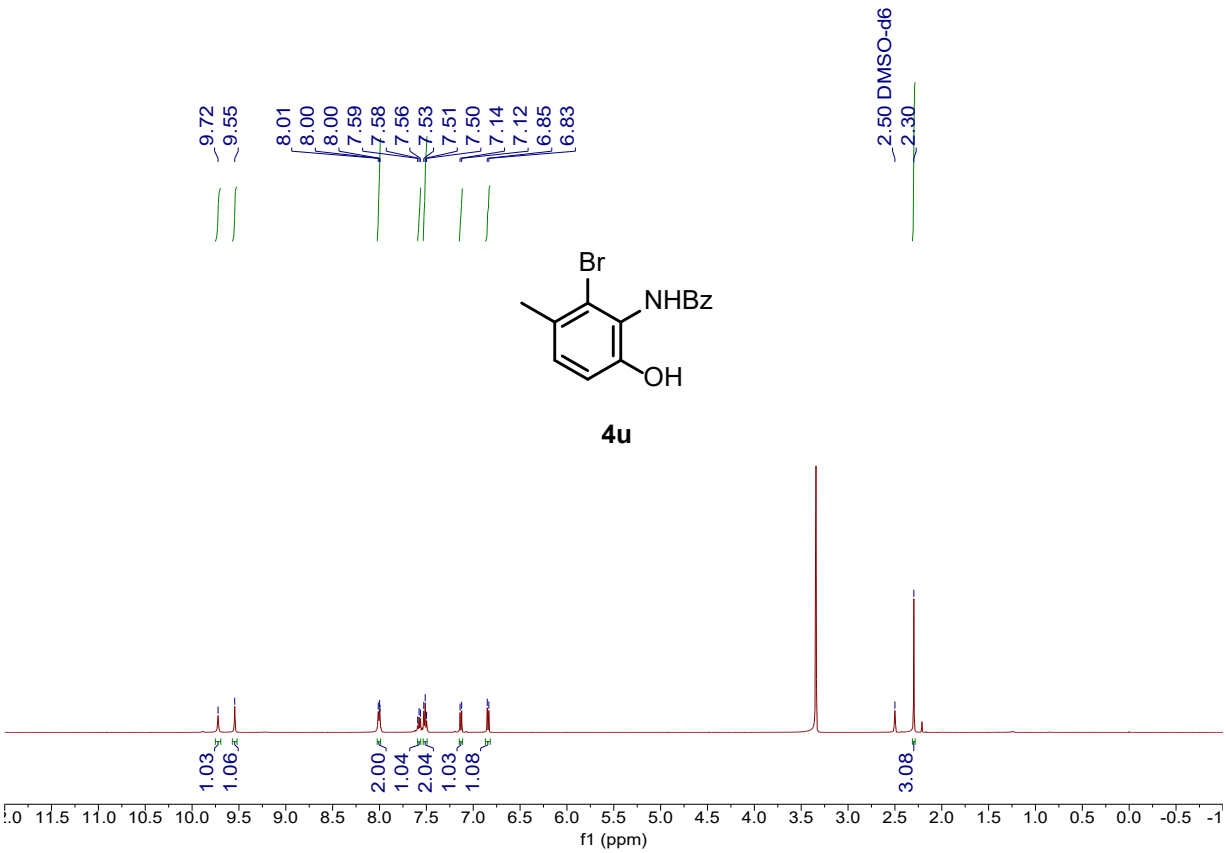
^{13}C NMR of Compound 4t' (126 MHz, DMSO- d_6)



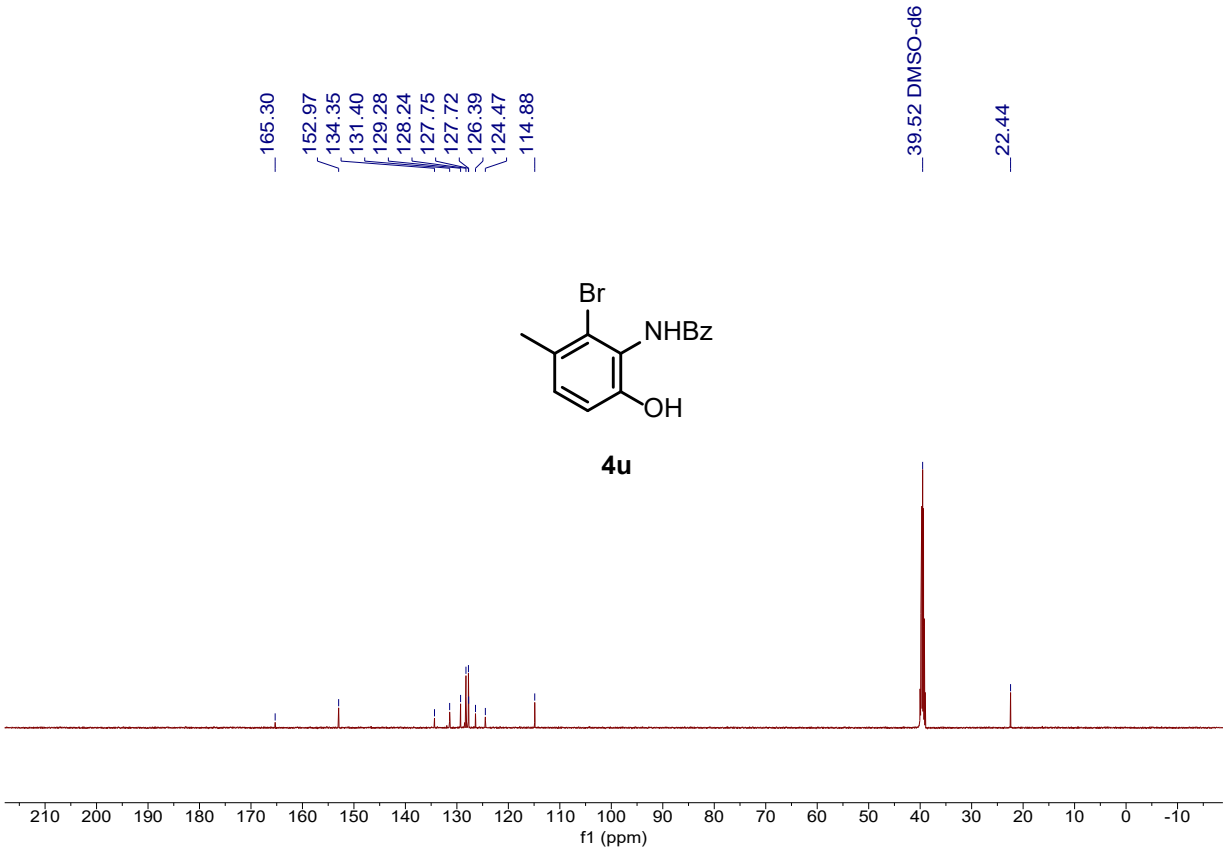
^{19}F NMR of Compound 4t' (471 MHz, DMSO- d_6)



^{1}H NMR of Compound 4u (500 MHz, DMSO- d_6)



^{13}C NMR of Compound 4u (126 MHz, DMSO- d_6)



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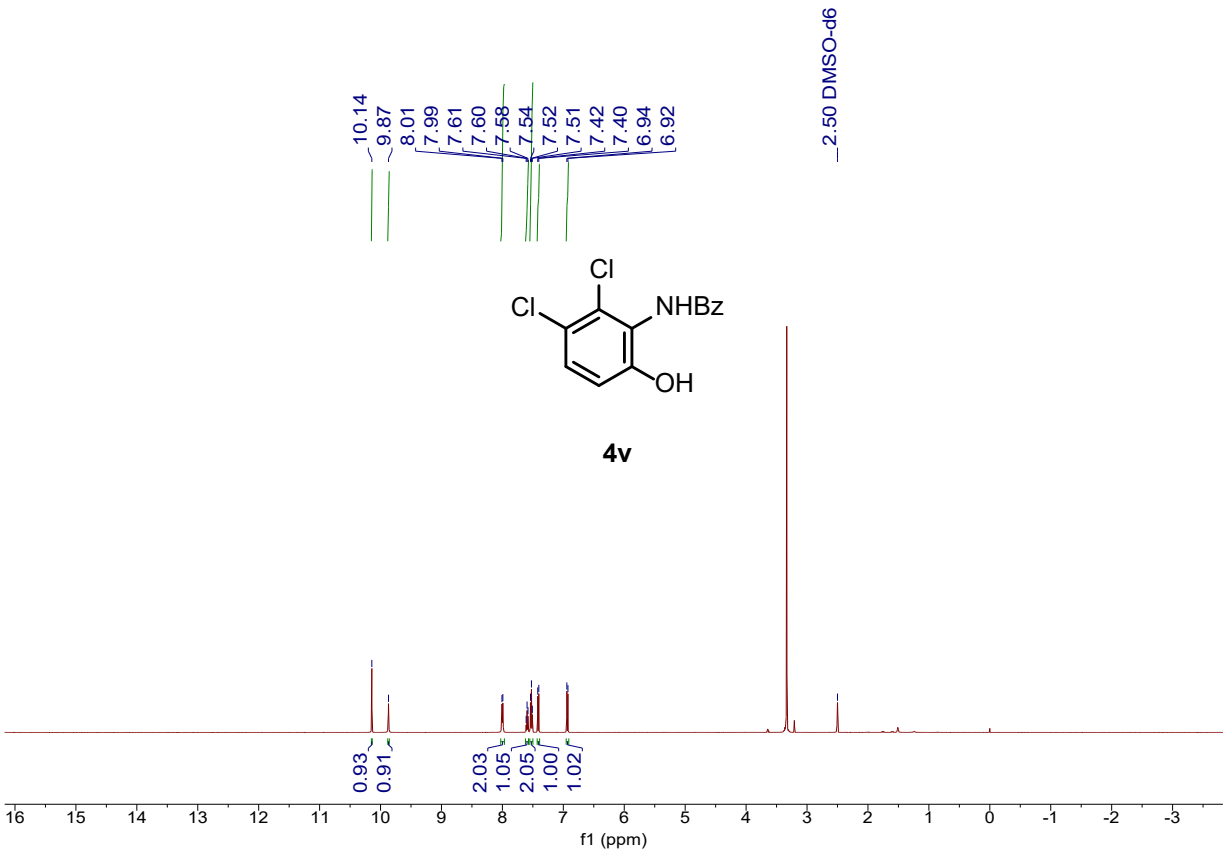
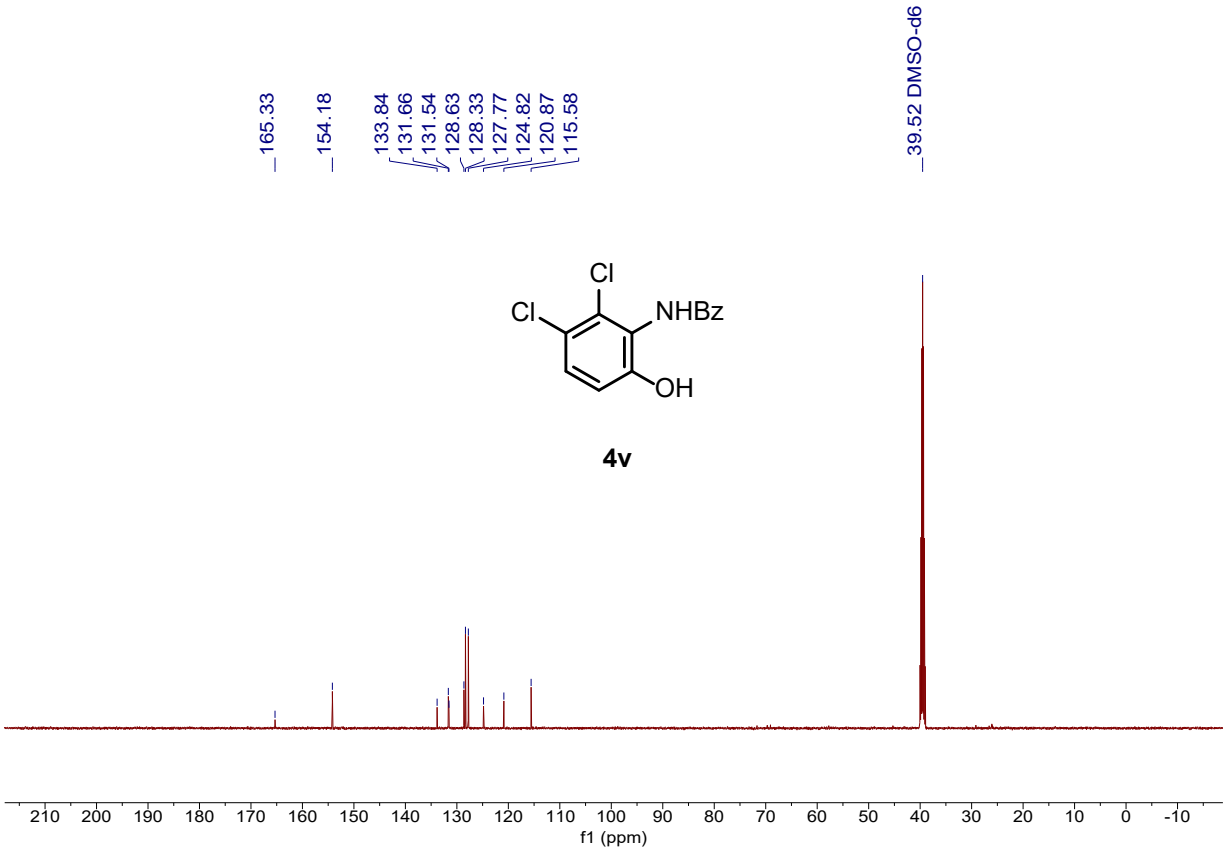
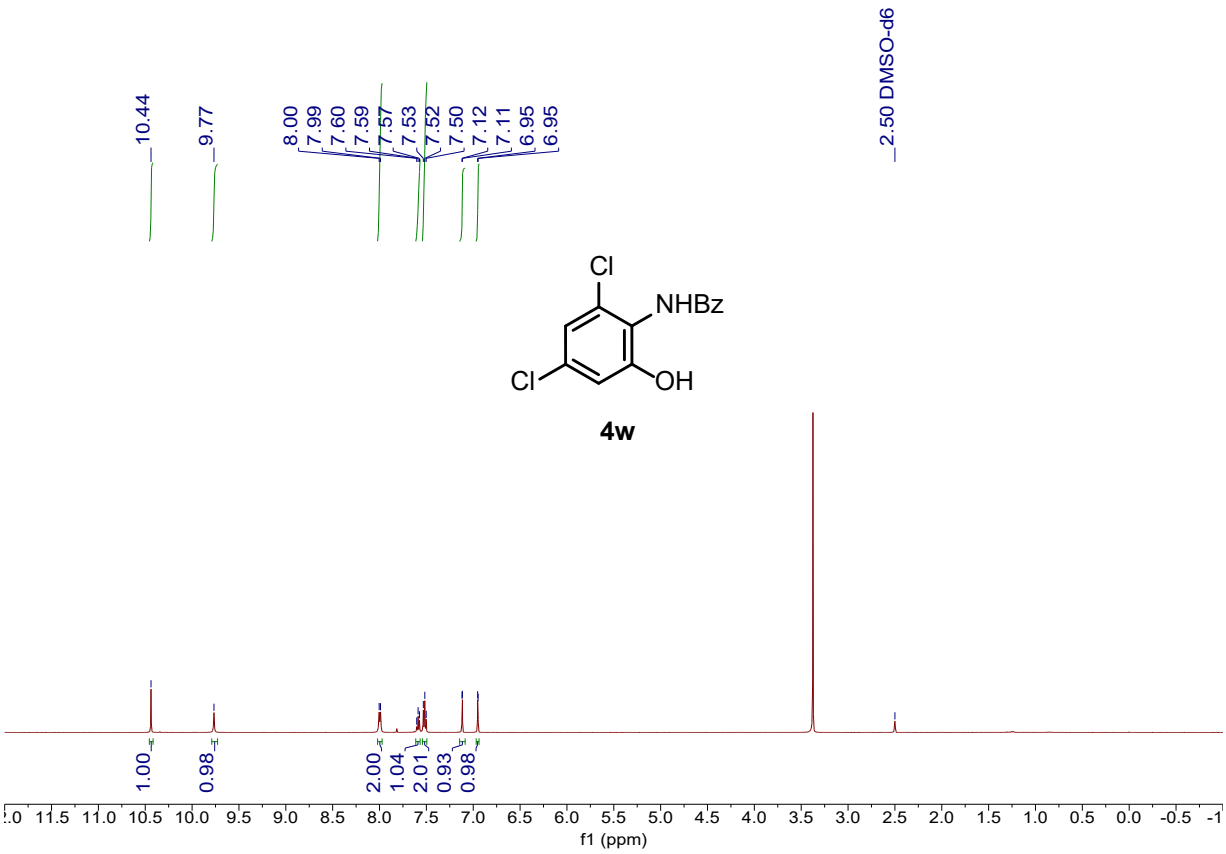


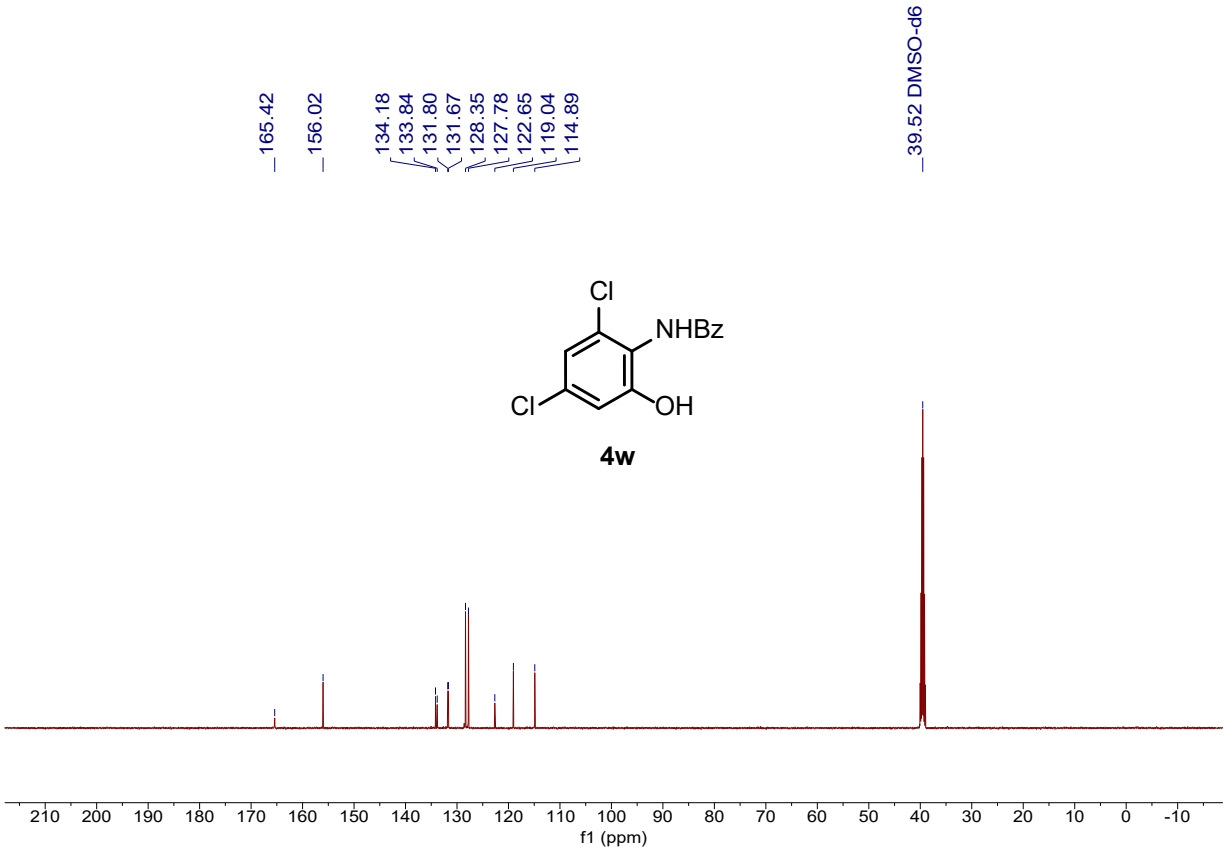
^{13}C NMR of Compound 4v (126 MHz, DMSO- d_6)



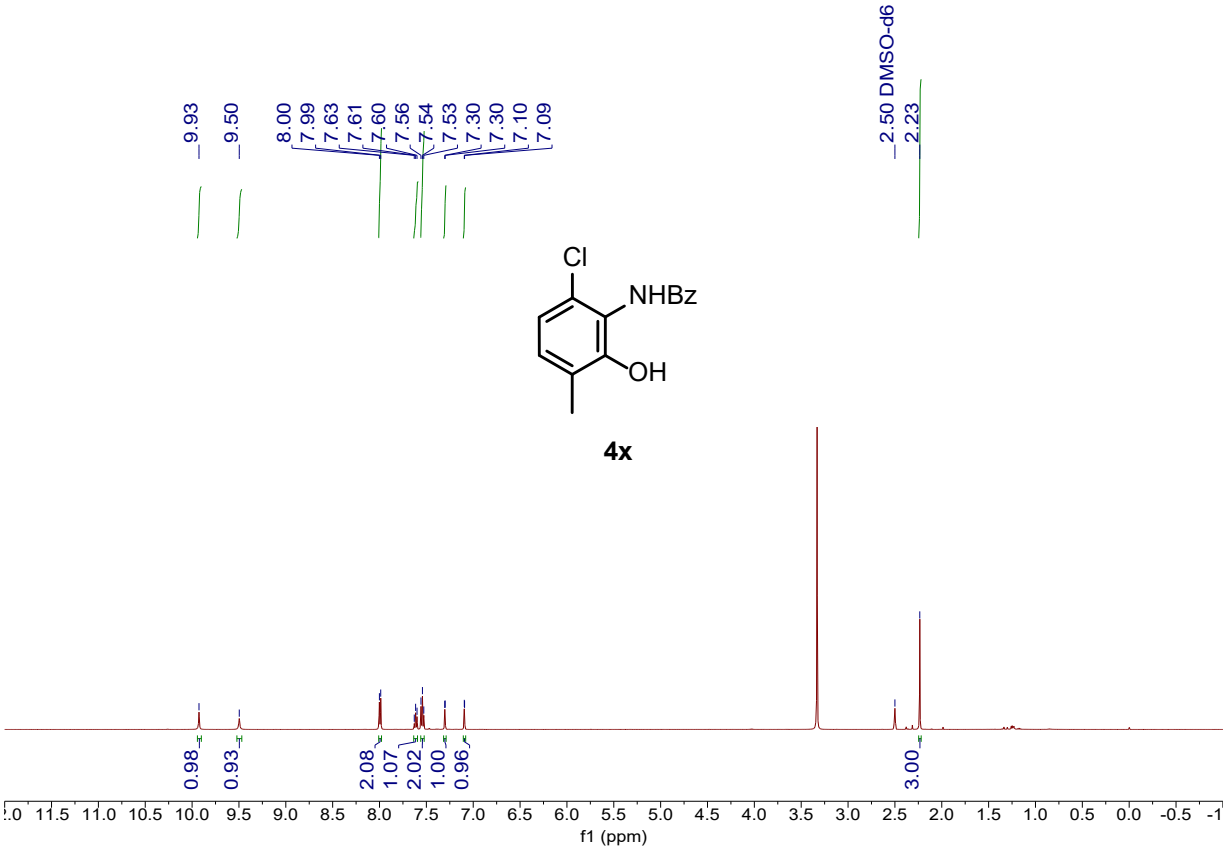
^{1}H NMR of Compound 4w (500 MHz, DMSO- d_6)



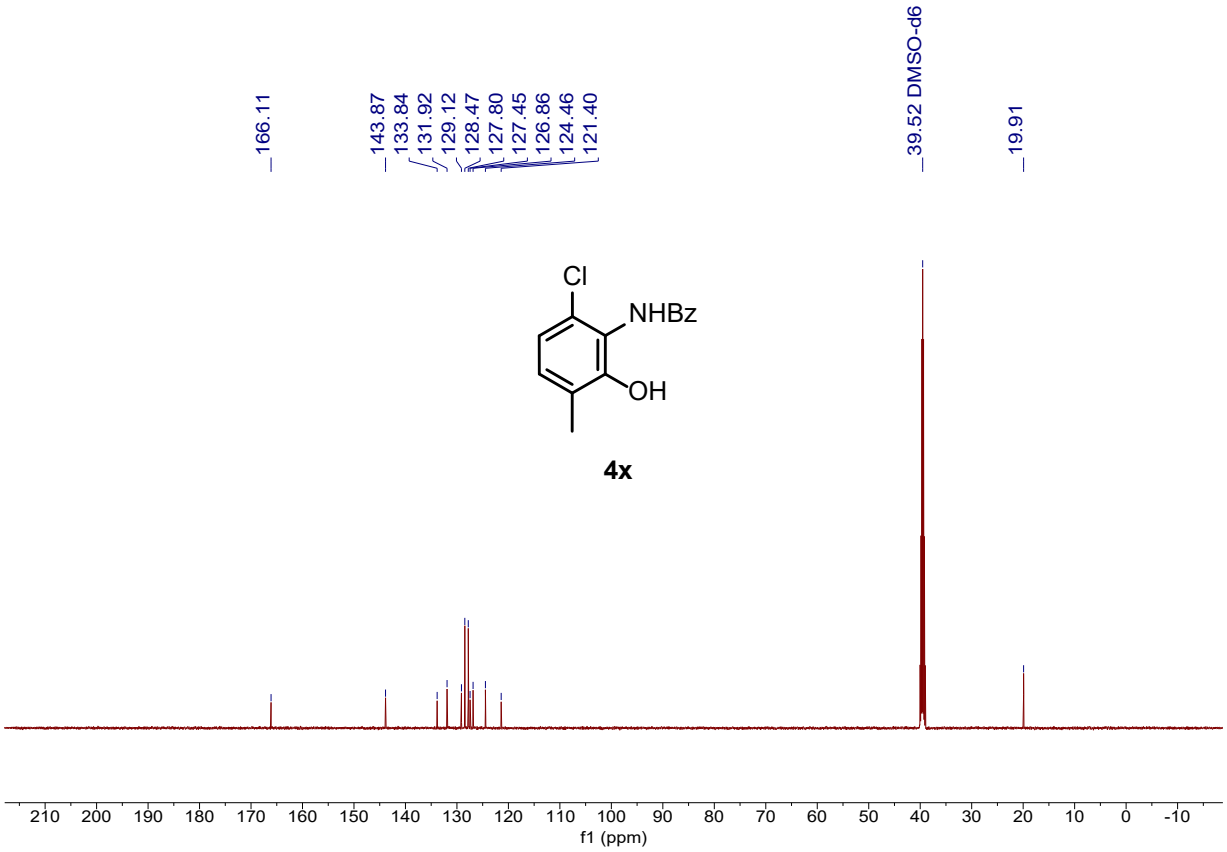
^{13}C NMR of Compound 4w (126 MHz, DMSO- d_6)



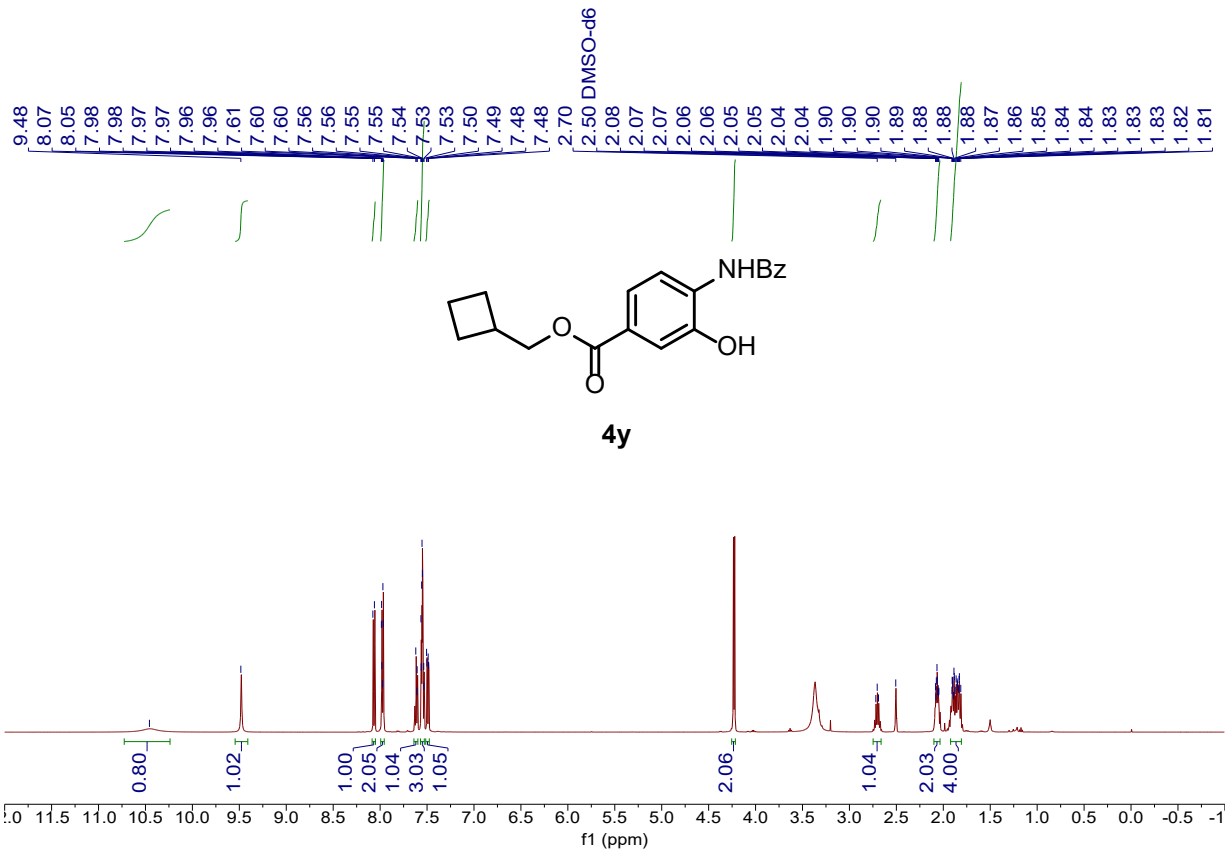
^{1}H NMR of Compound 4x (500 MHz, DMSO- d_6)



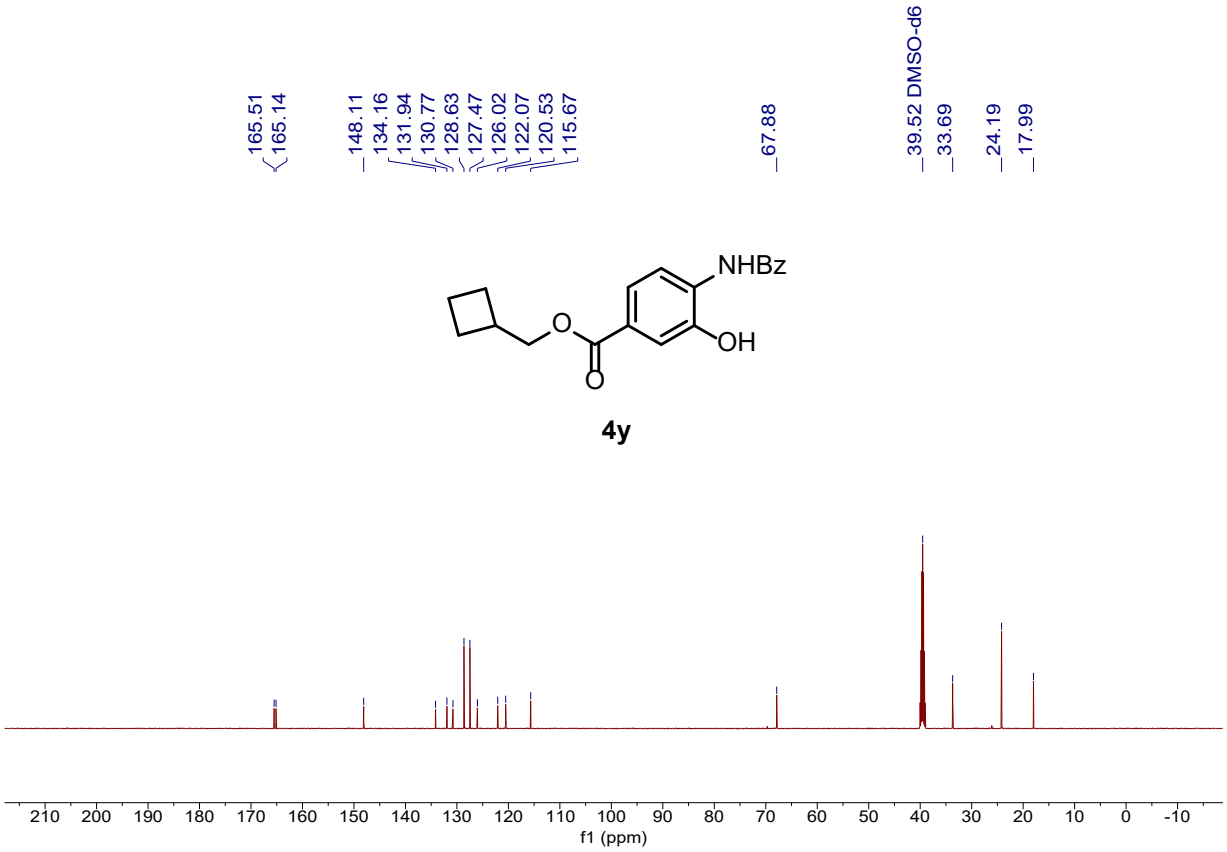
^{13}C NMR of Compound 4x (126 MHz, DMSO- d_6)



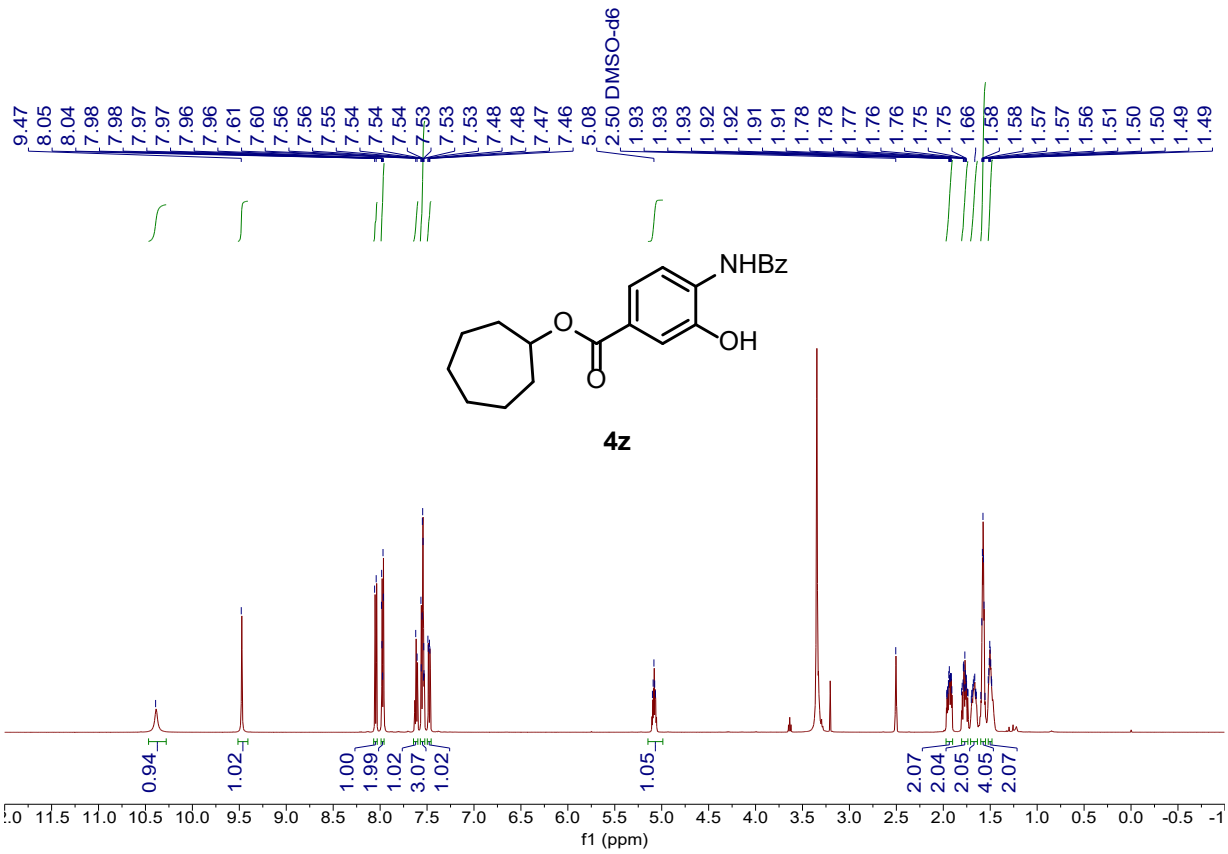
^{1}H NMR of Compound 4y (500 MHz, DMSO- d_6)



^{13}C NMR of Compound 4y (126 MHz, DMSO- d_6)



^{1}H NMR of Compound 4z (500 MHz, DMSO- d_6)



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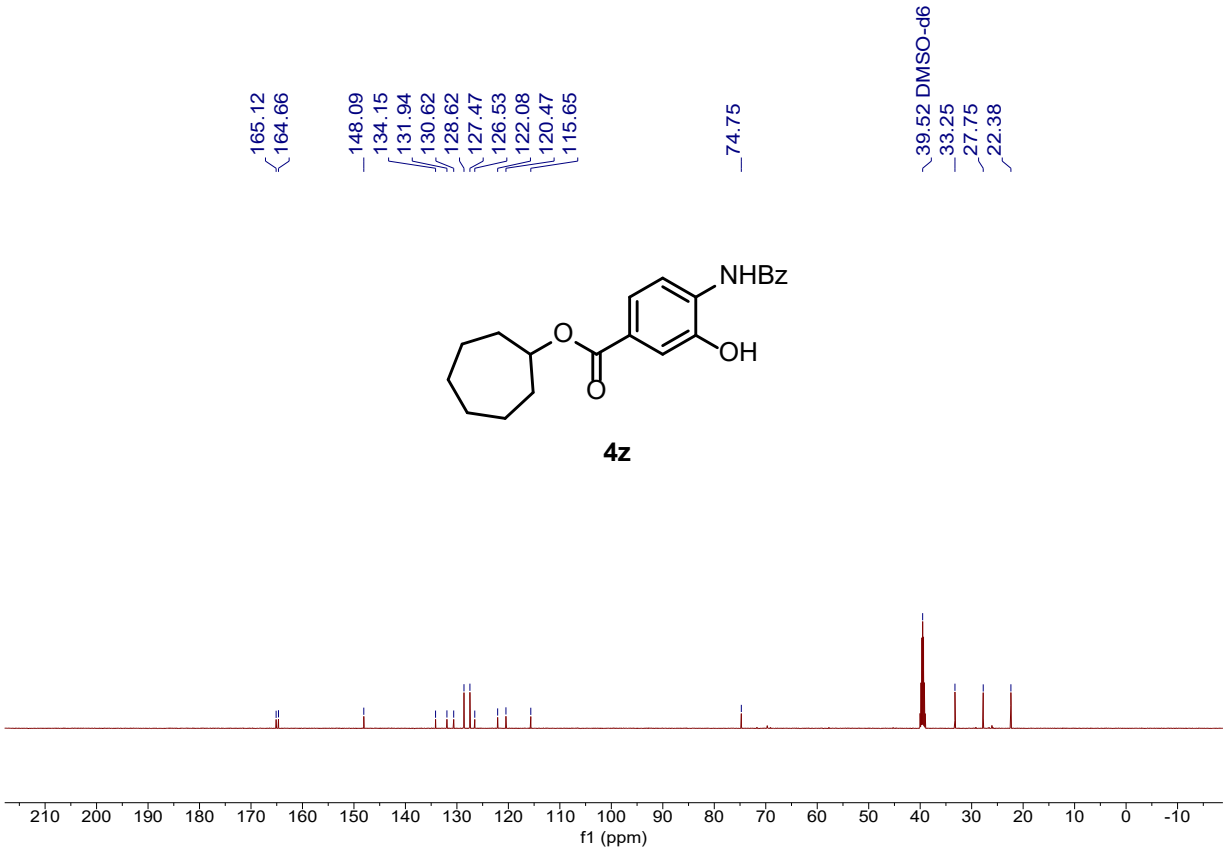
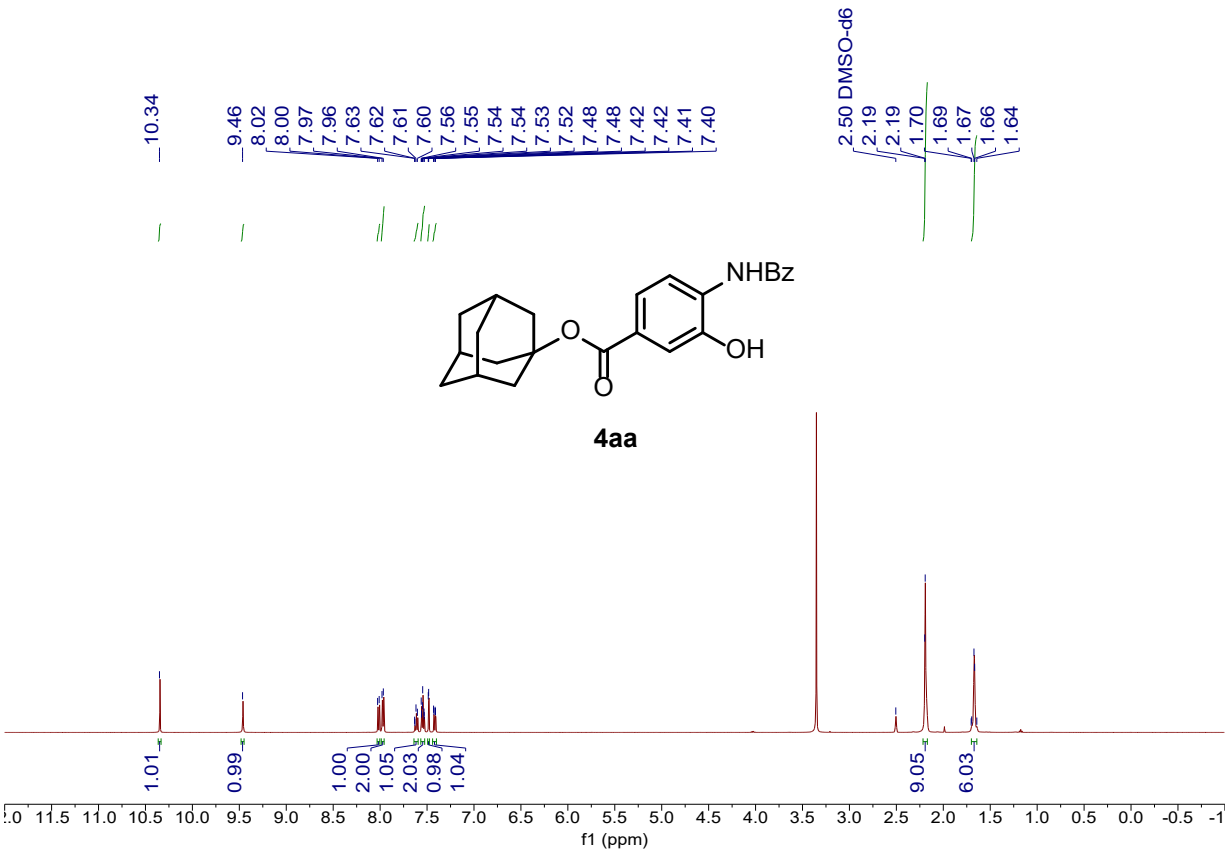
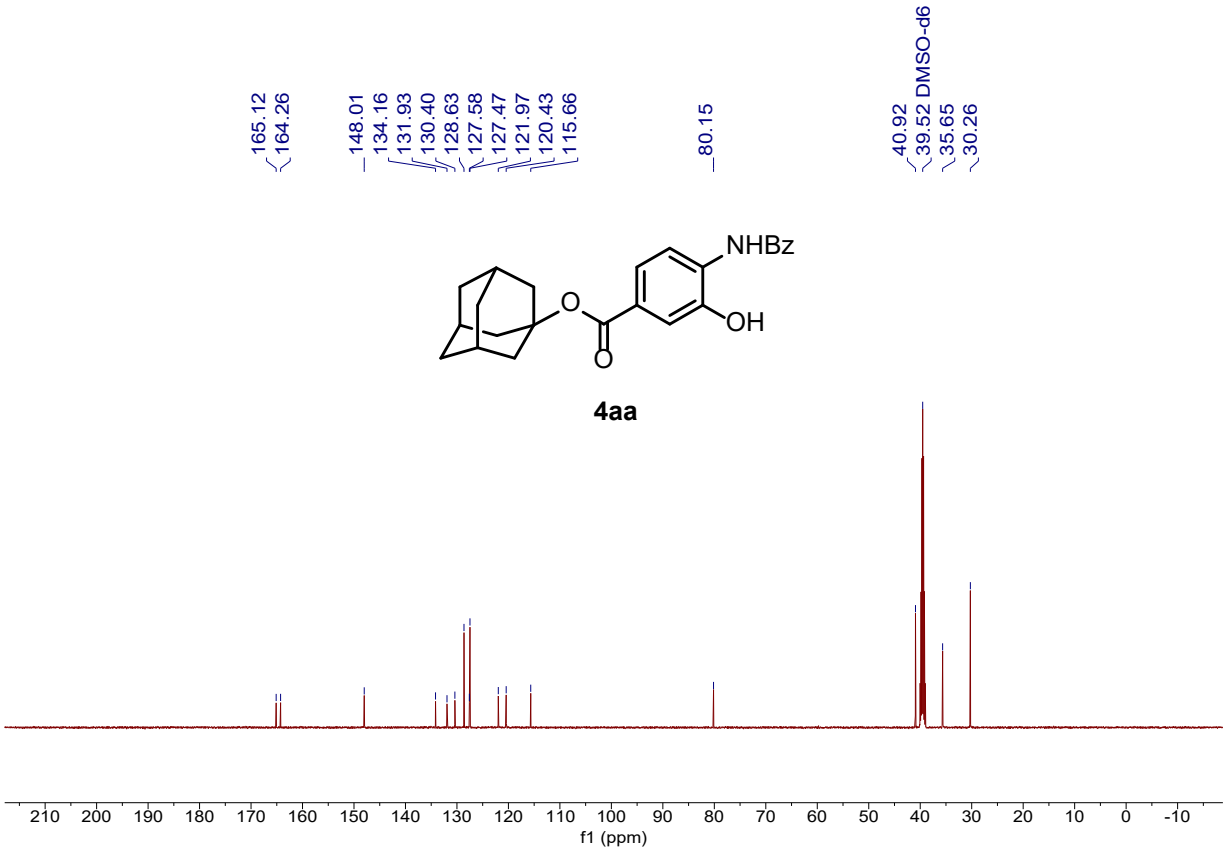


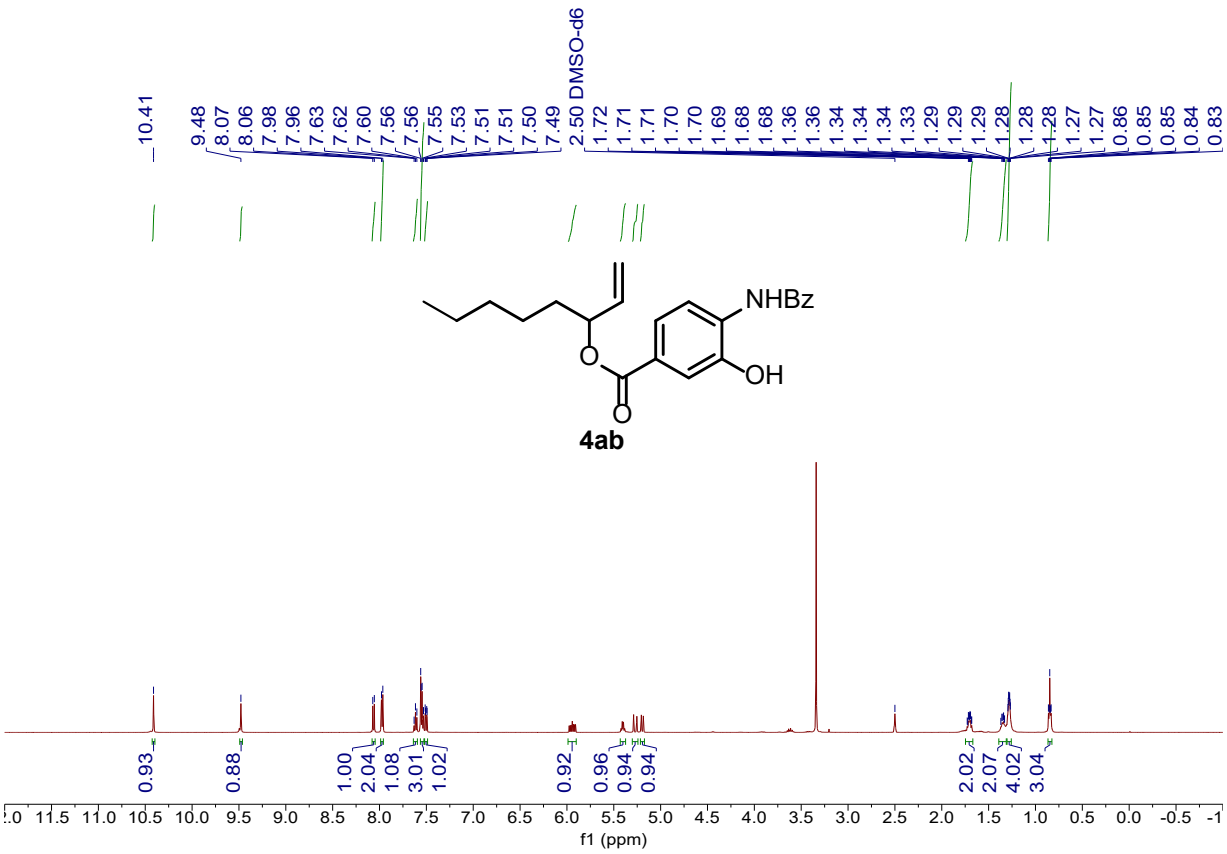
^{1}H NMR of Compound 4aa (500 MHz, DMSO- d_6)



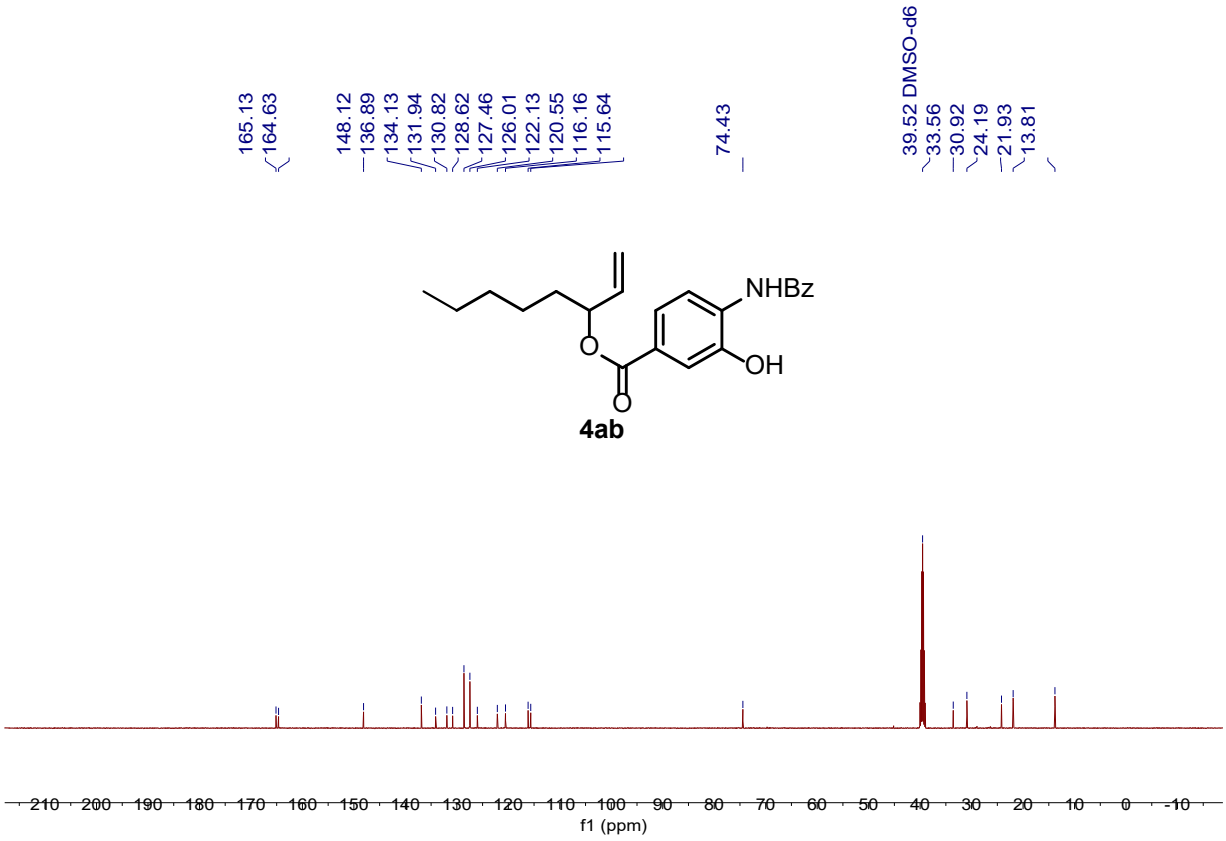
^{13}C NMR of Compound 4aa (126 MHz, DMSO- d_6)



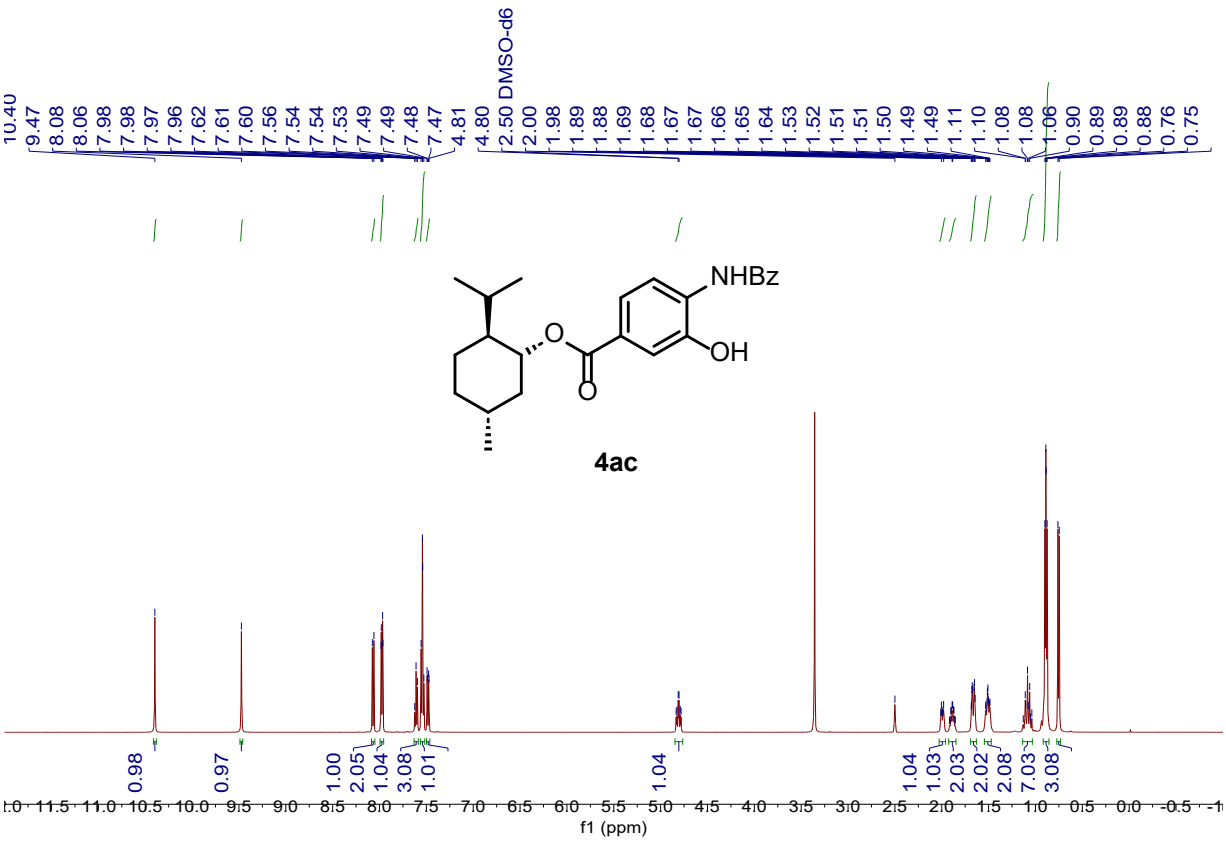
^{1}H NMR of Compound 4ab (500 MHz, DMSO- d_6)



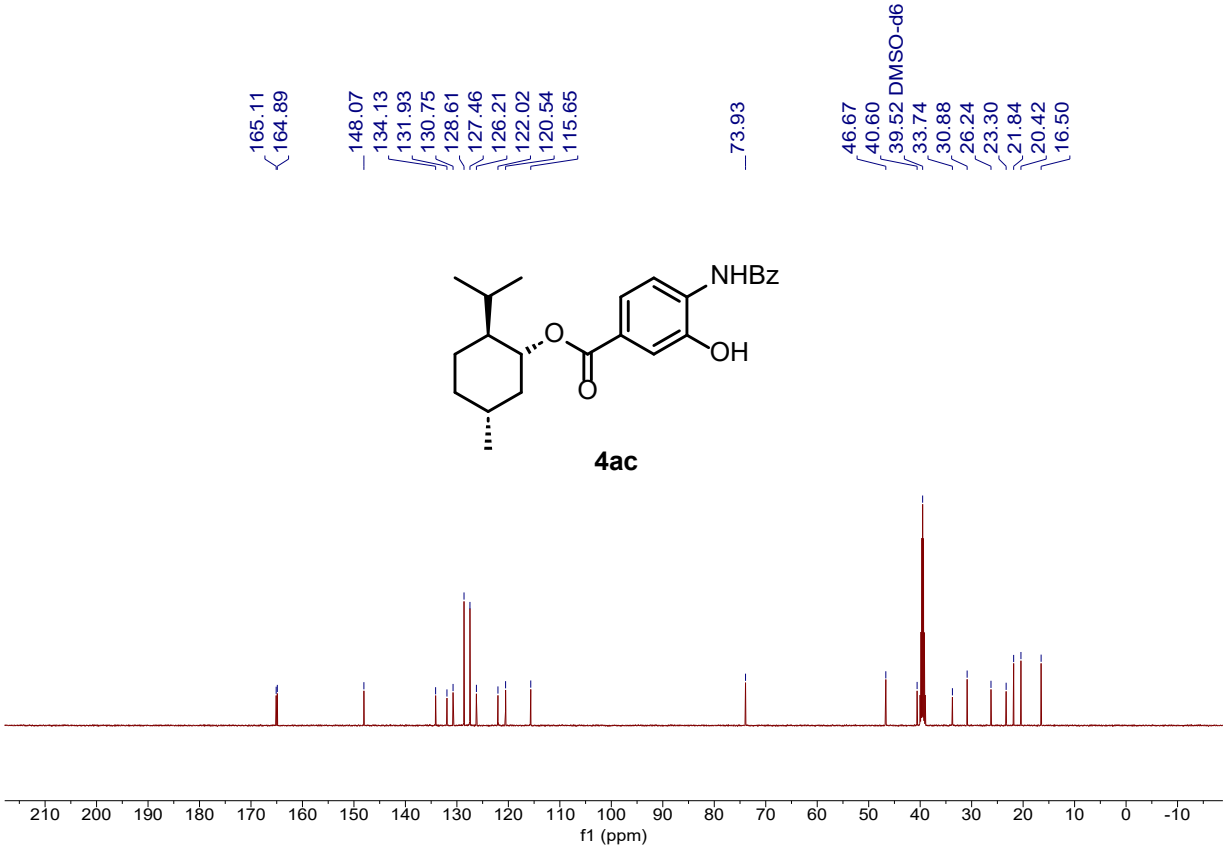
^{13}C NMR of Compound 4ab (126 MHz, DMSO- d_6)



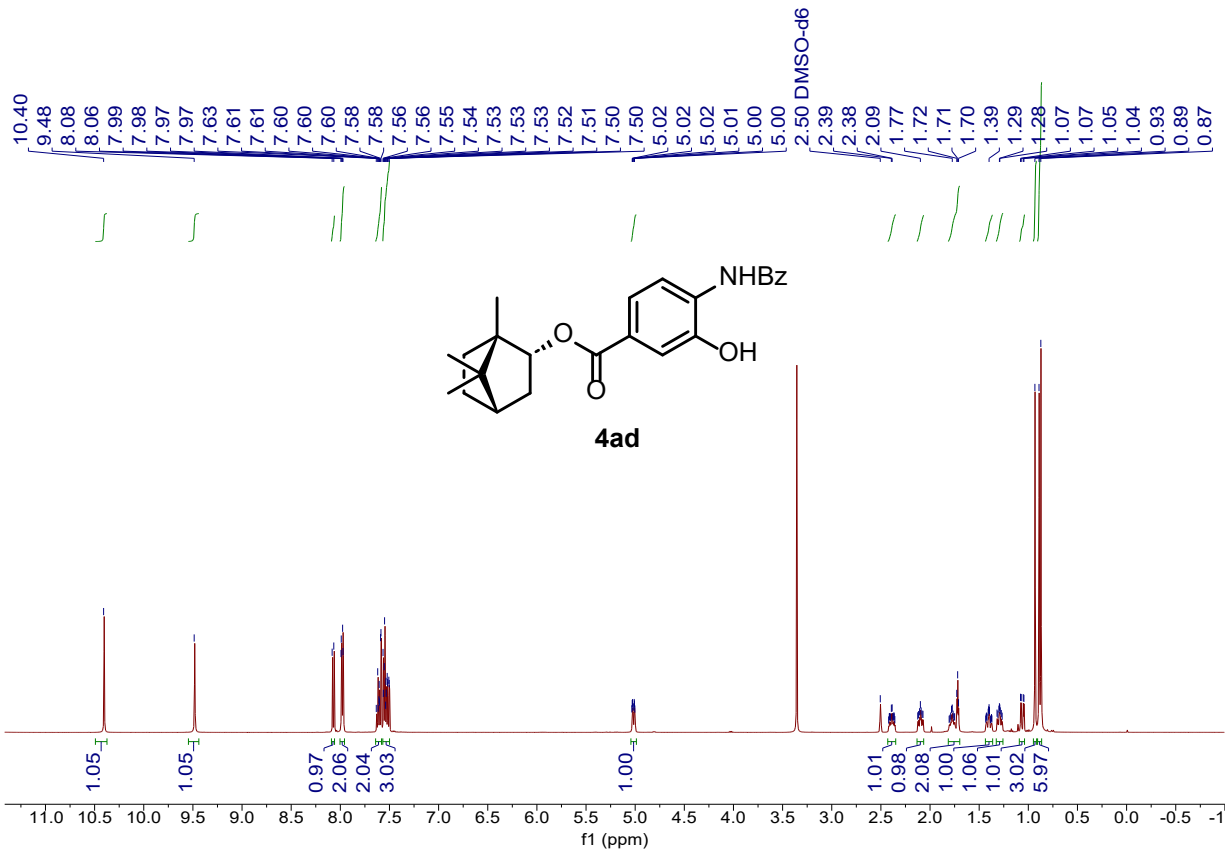
^{1}H NMR of Compound 4ac (500 MHz, DMSO- d_6)



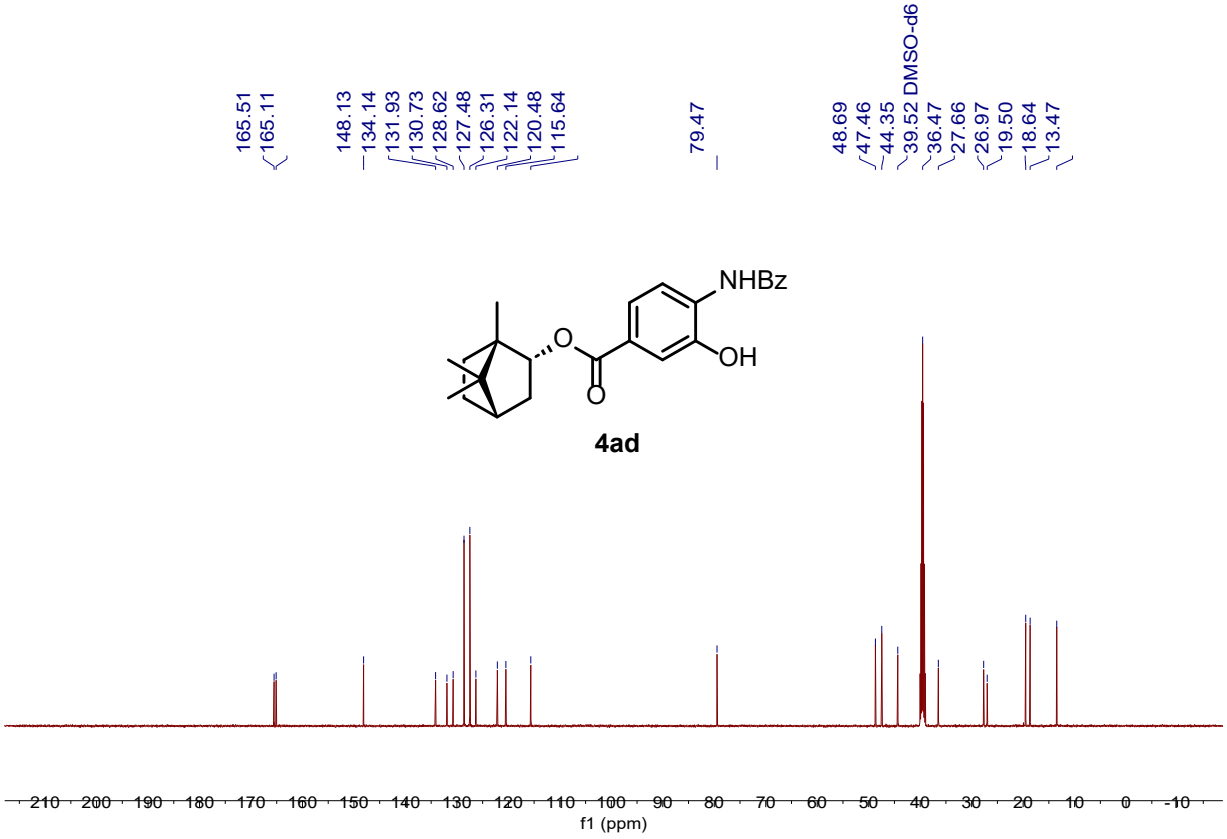
^{13}C NMR of Compound 4ac (126 MHz, DMSO- d_6)



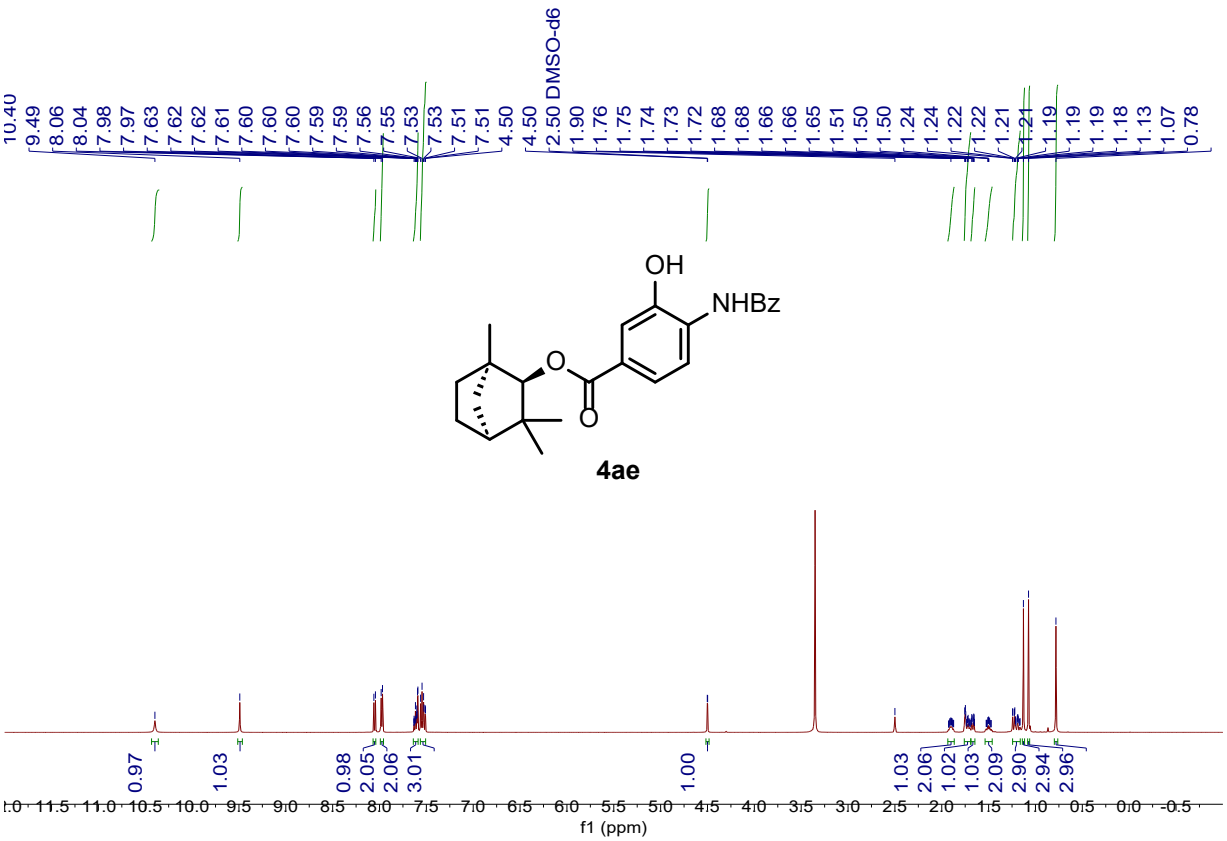
^{1}H NMR of Compound 4ad (500 MHz, DMSO- d_6)



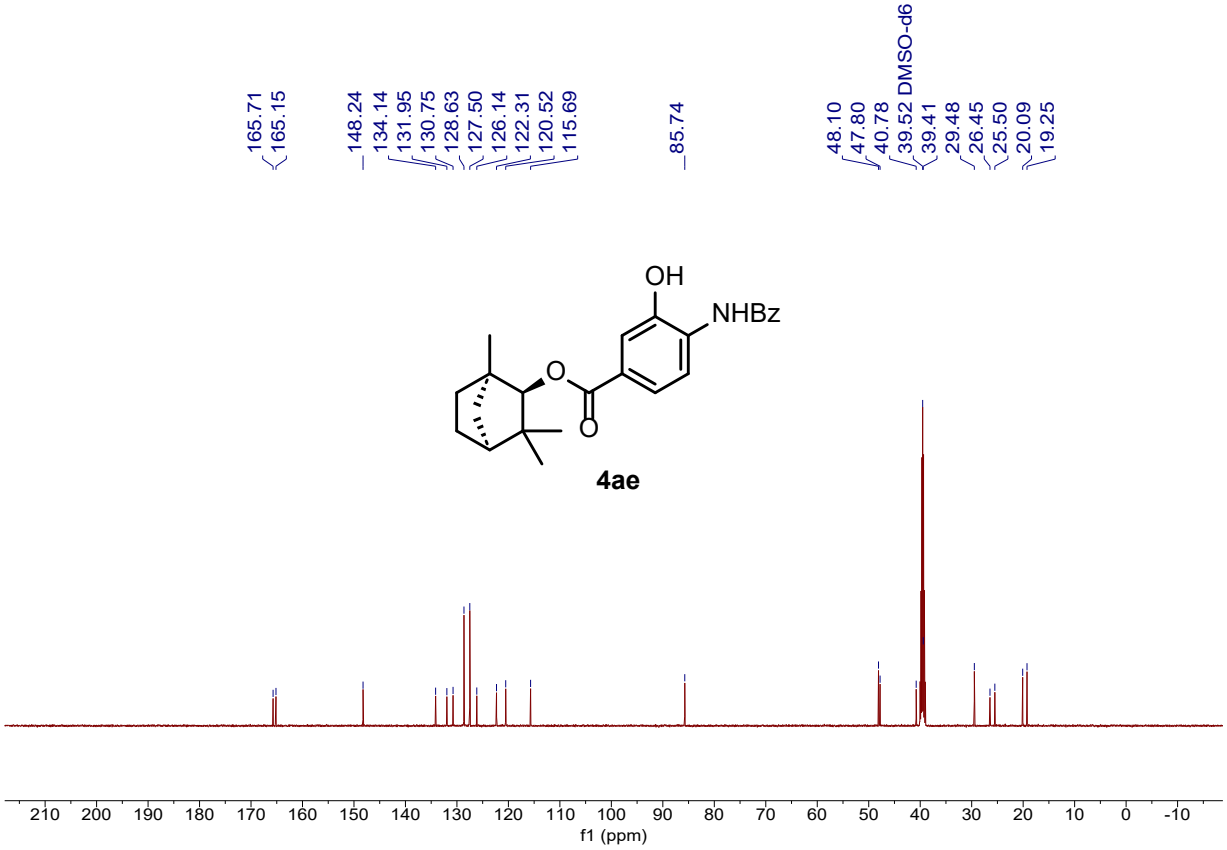
^{13}C NMR of Compound 4ad (126 MHz, DMSO- d_6)



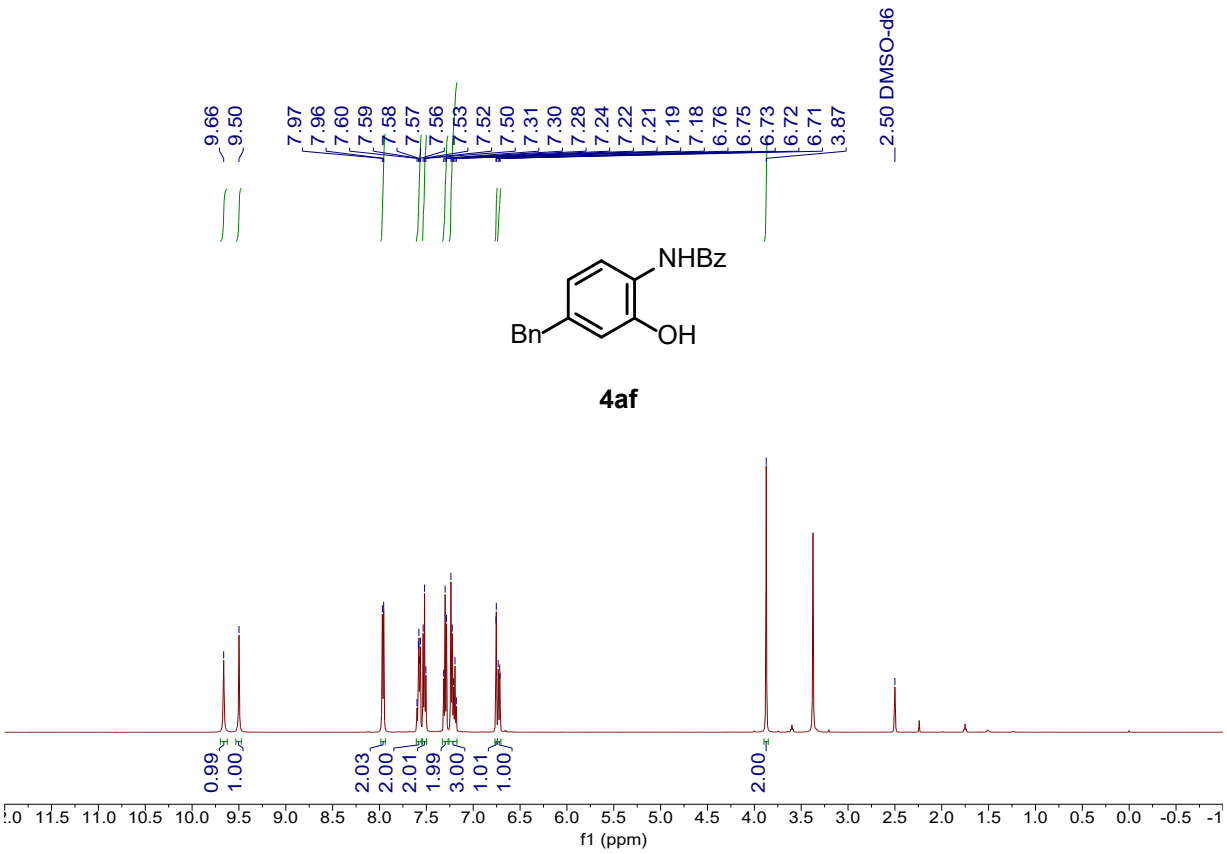
^{1}H NMR of Compound 4ae (500 MHz, DMSO- d_6)



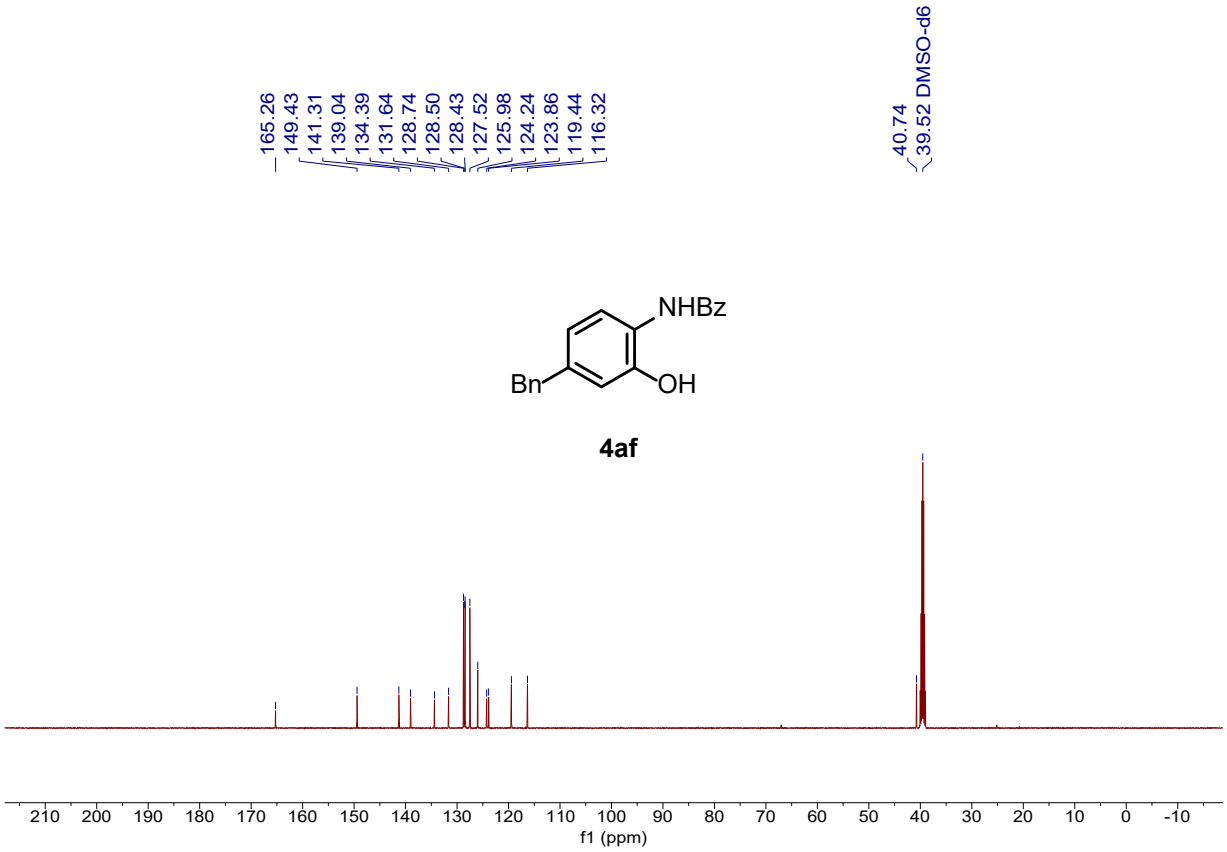
^{13}C NMR of Compound 4ae (126 MHz, DMSO- d_6)



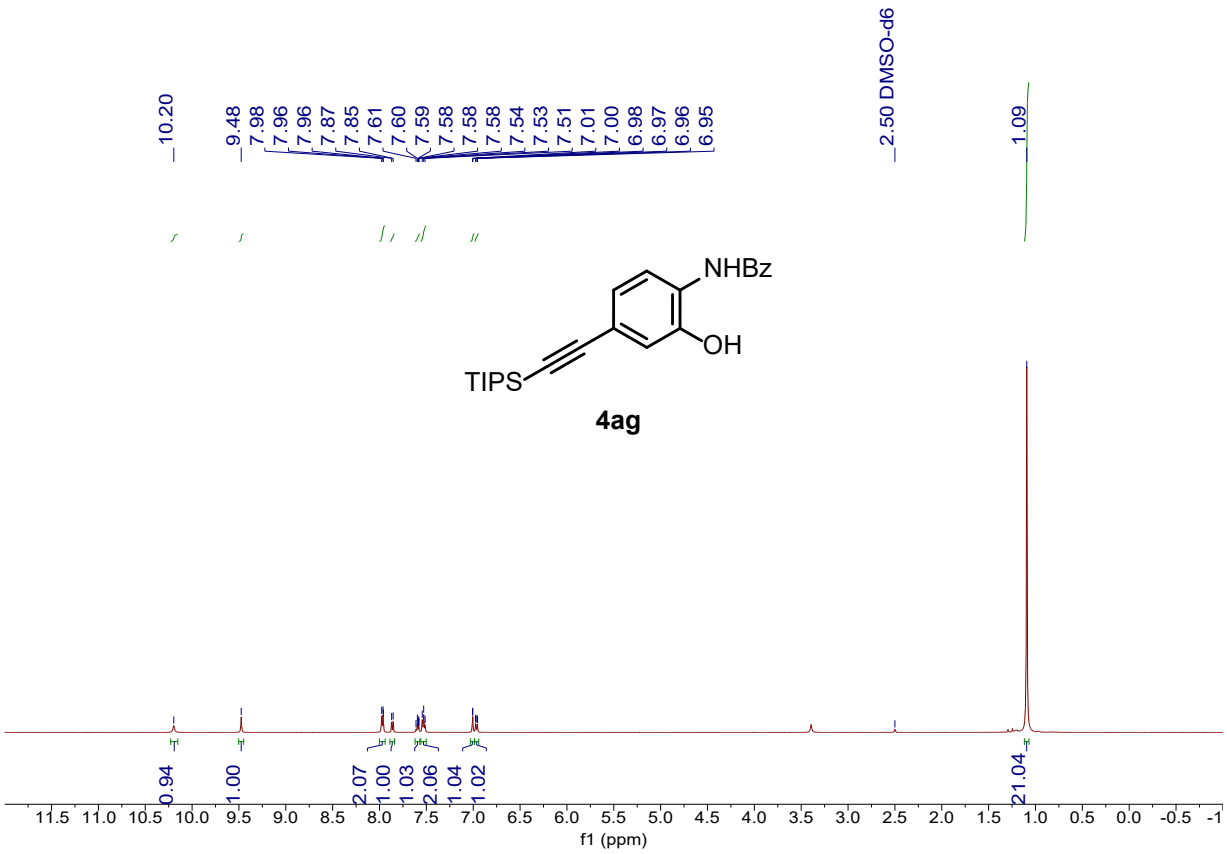
^{1}H NMR of Compound 4af (500 MHz, DMSO- d_6)



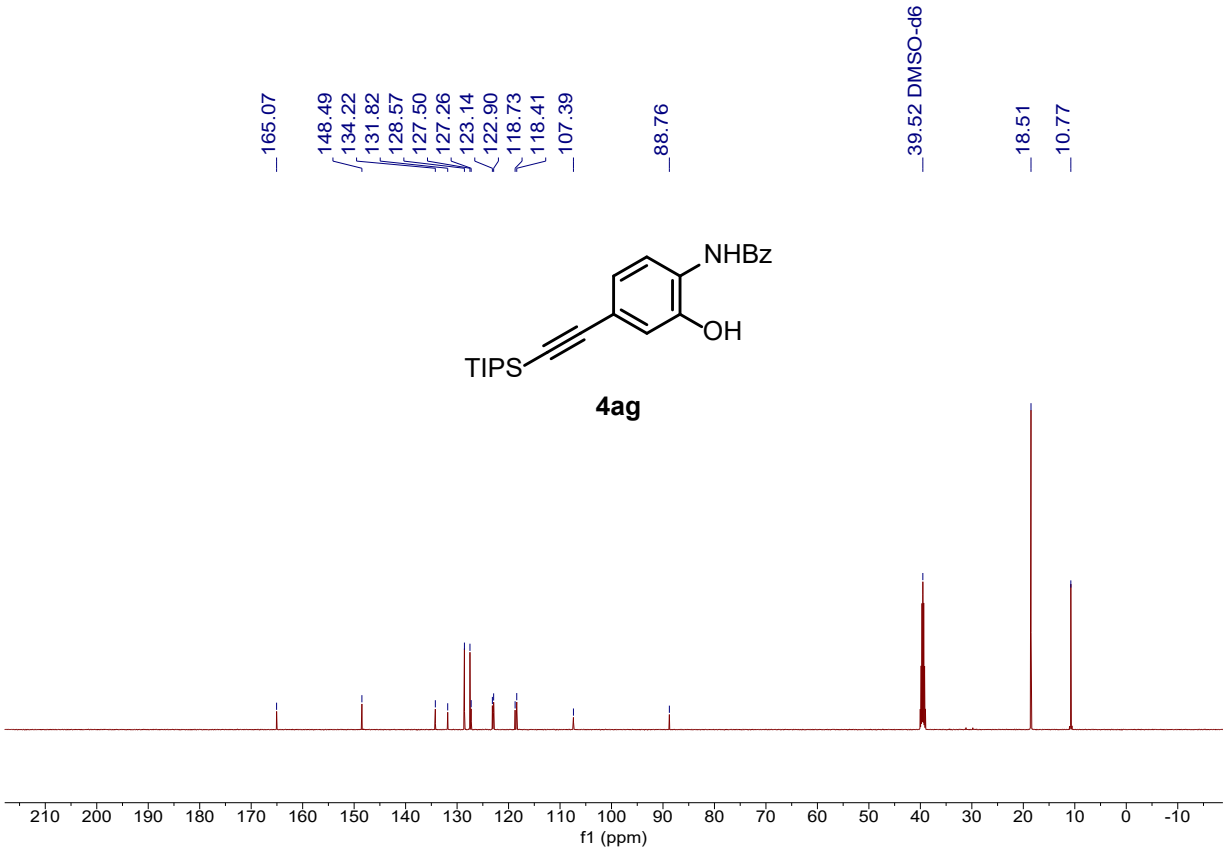
^{13}C NMR of Compound 4af (126 MHz, DMSO- d_6)



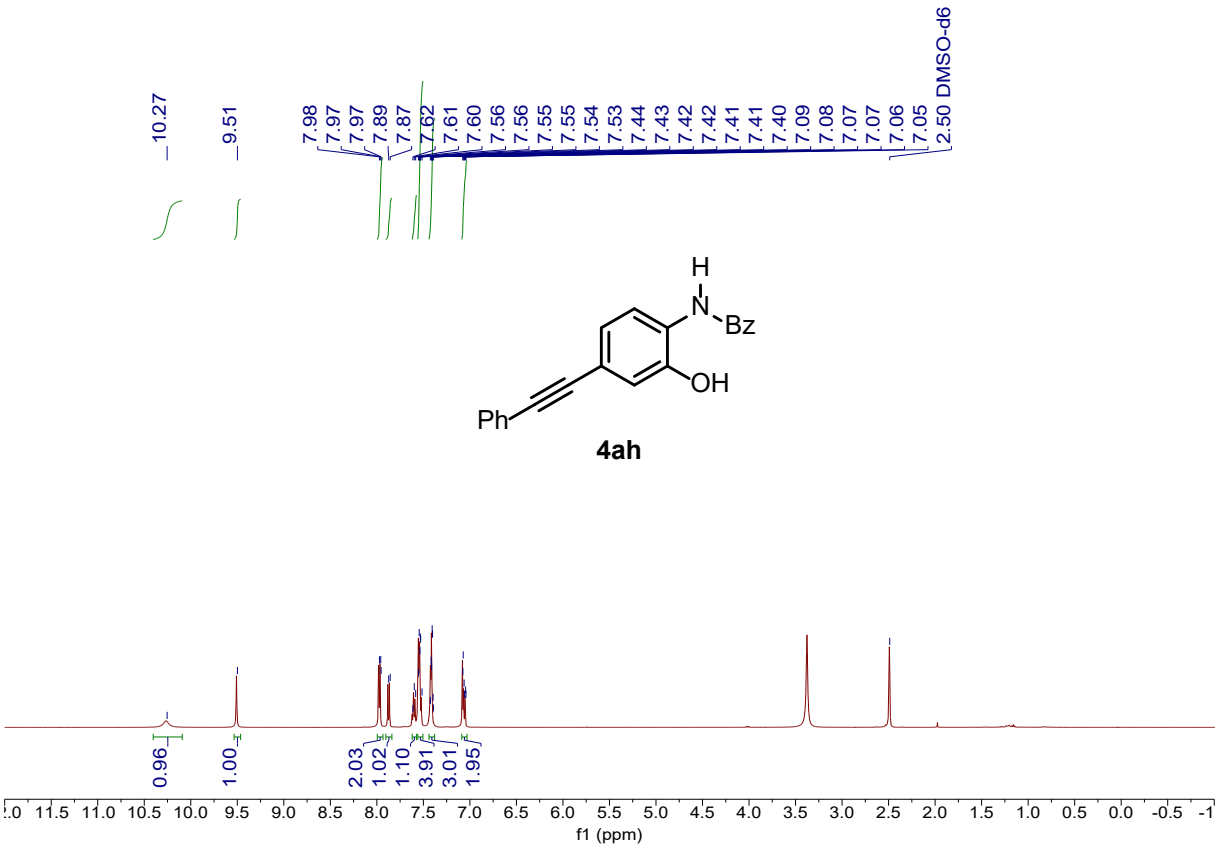
^{1}H NMR of Compound 4ag (500 MHz, DMSO- d_6)



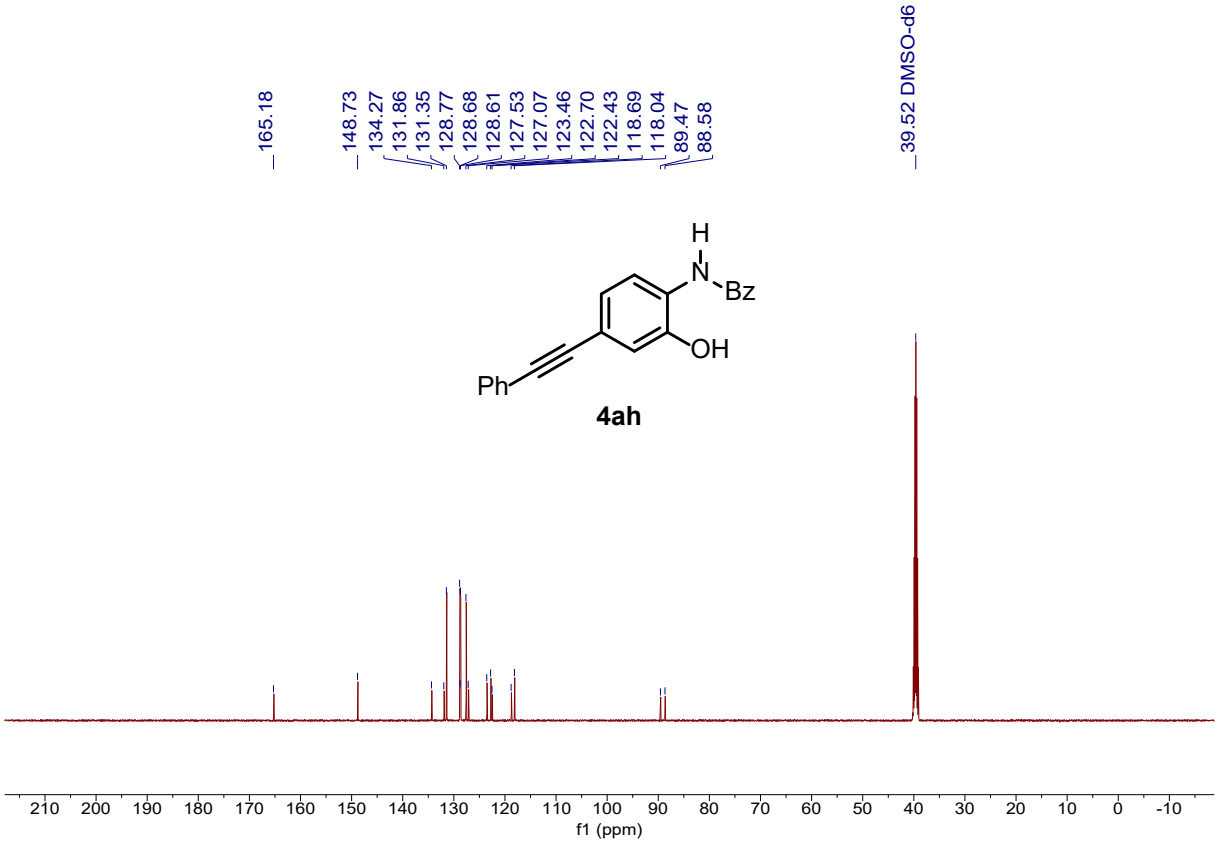
^{13}C NMR of Compound 4ag (126 MHz, DMSO- d_6)



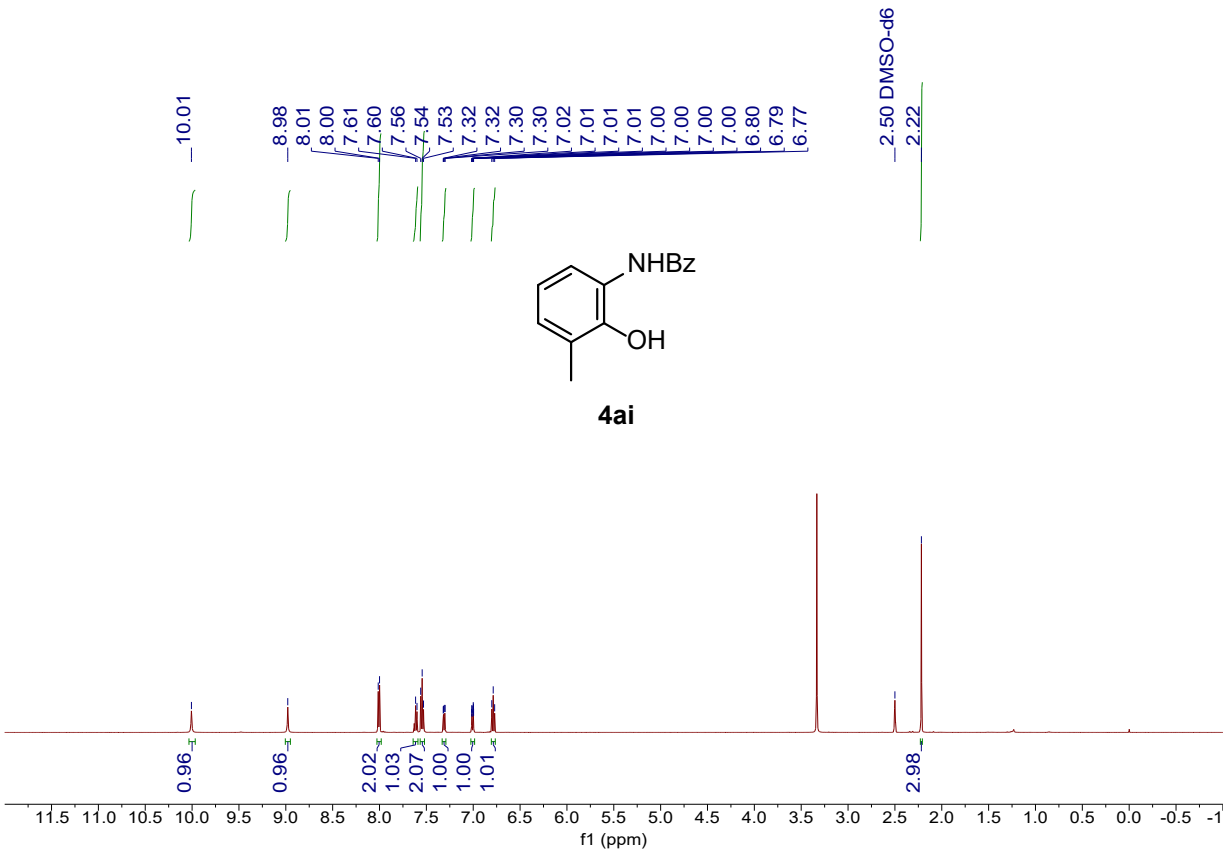
^{1}H NMR of Compound 4ah (500 MHz, DMSO- d_6)



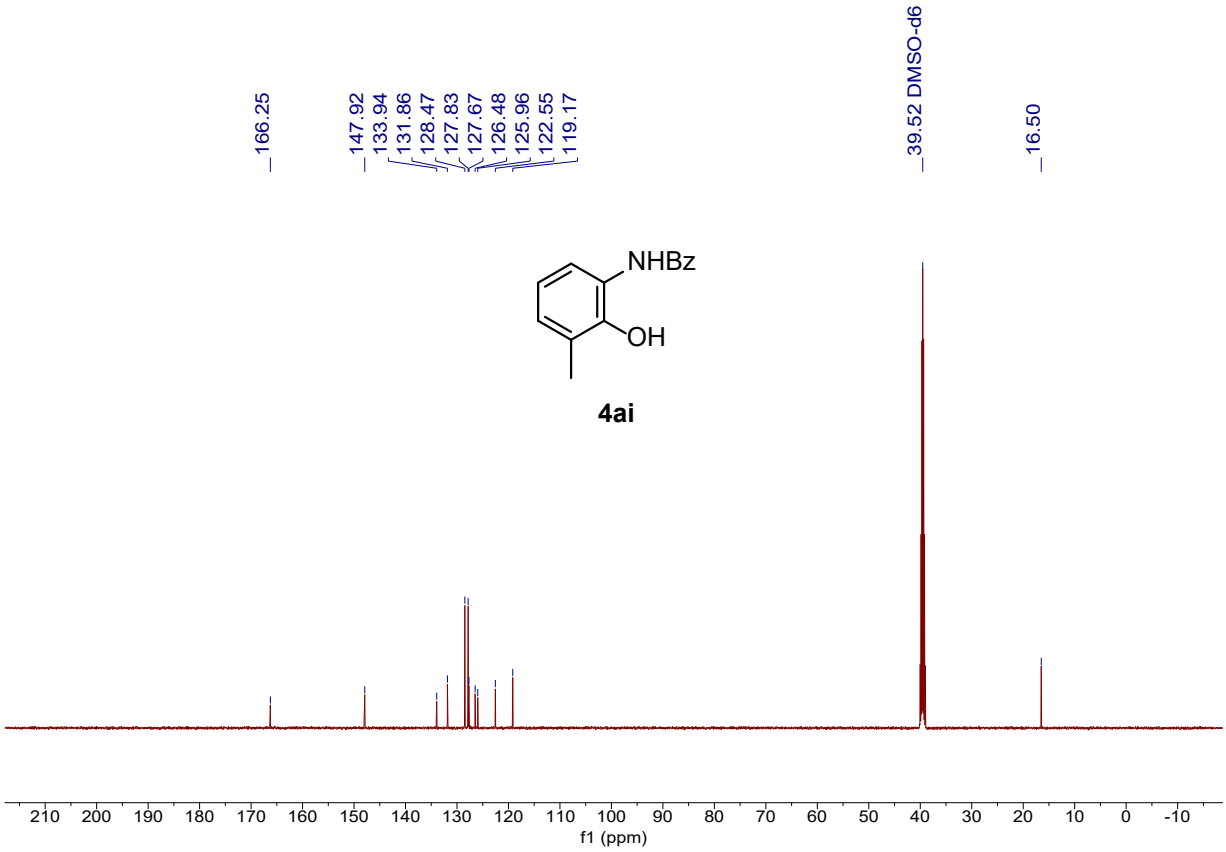
^{13}C NMR of Compound 4ah (126 MHz, DMSO- d_6)



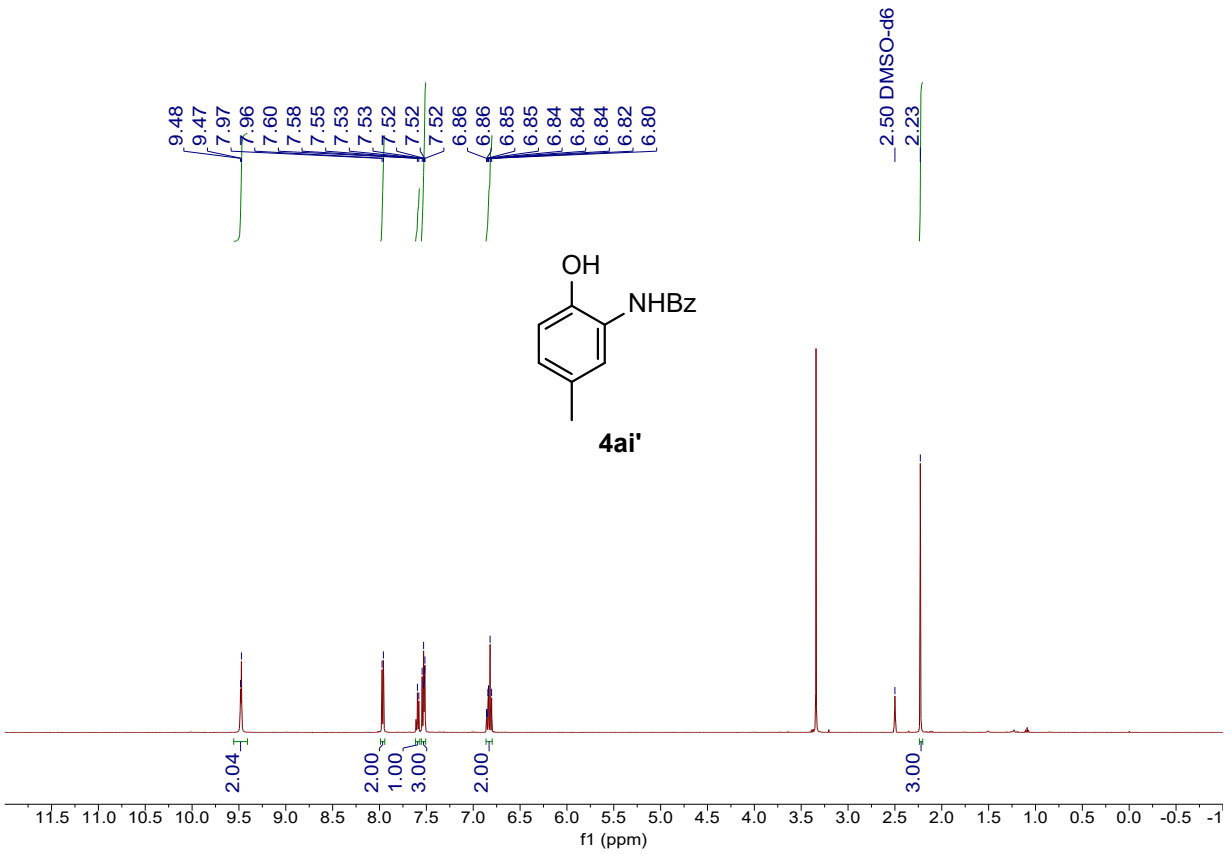
^{1}H NMR of Compound 4ai (500 MHz, DMSO- d_6)



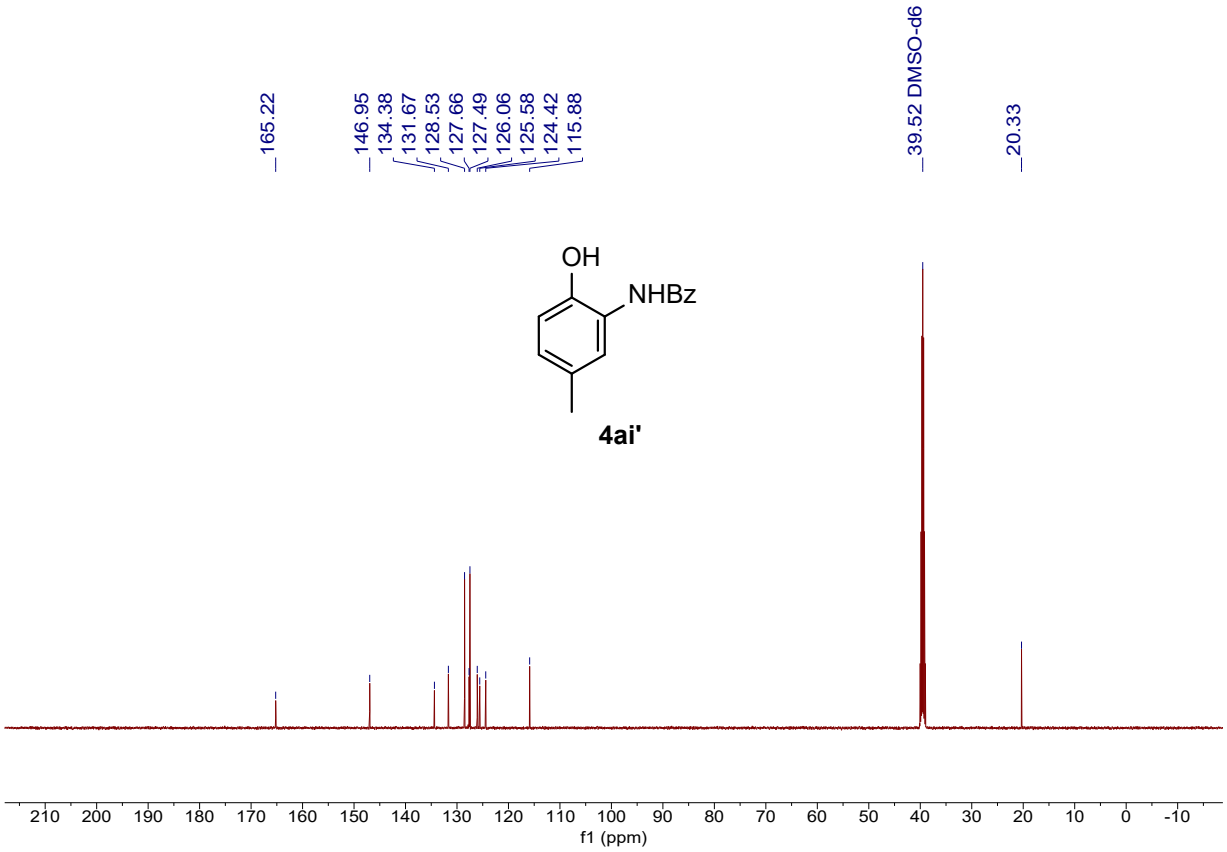
^{13}C NMR of Compound 4ai (126 MHz, DMSO- d_6)



^{1}H NMR of Compound 4ai' (500 MHz, DMSO- d_6)



^{13}C NMR of Compound 4ai' (126 MHz, DMSO- d_6)



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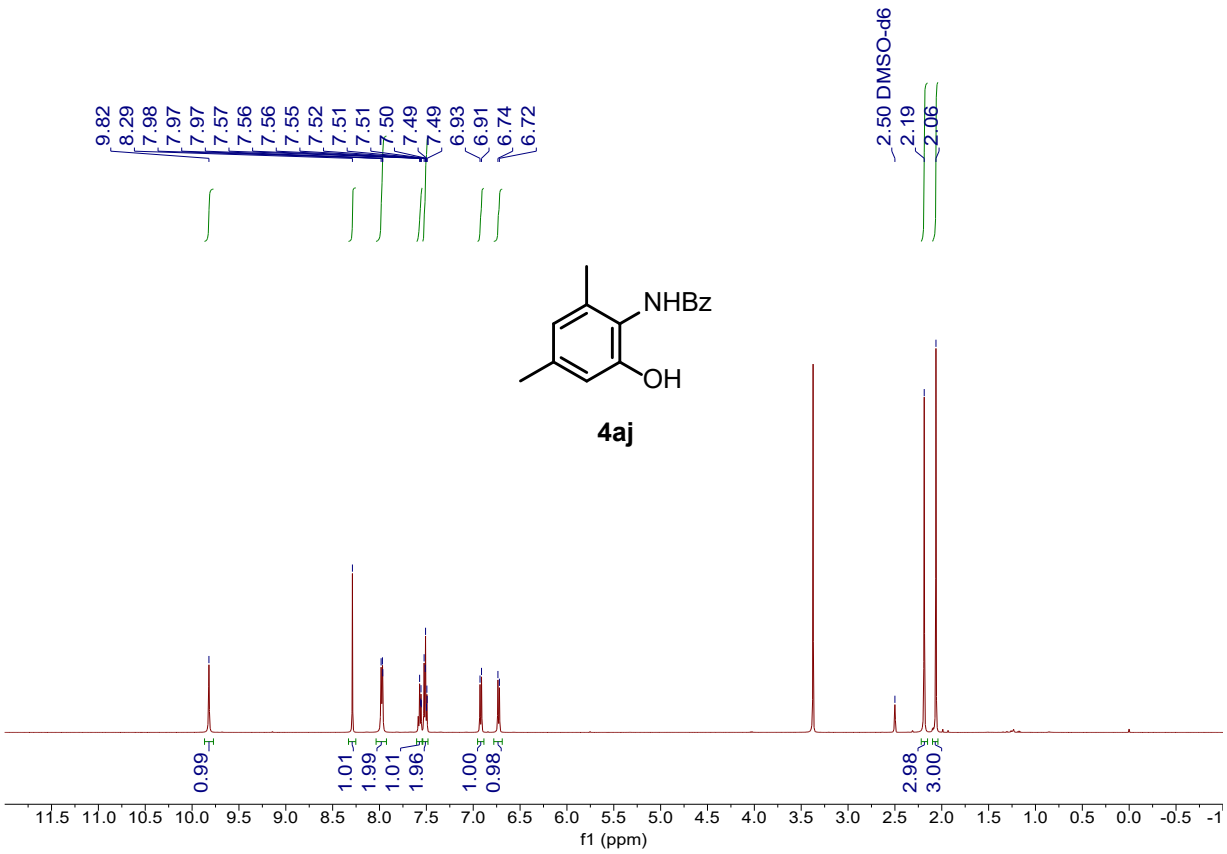
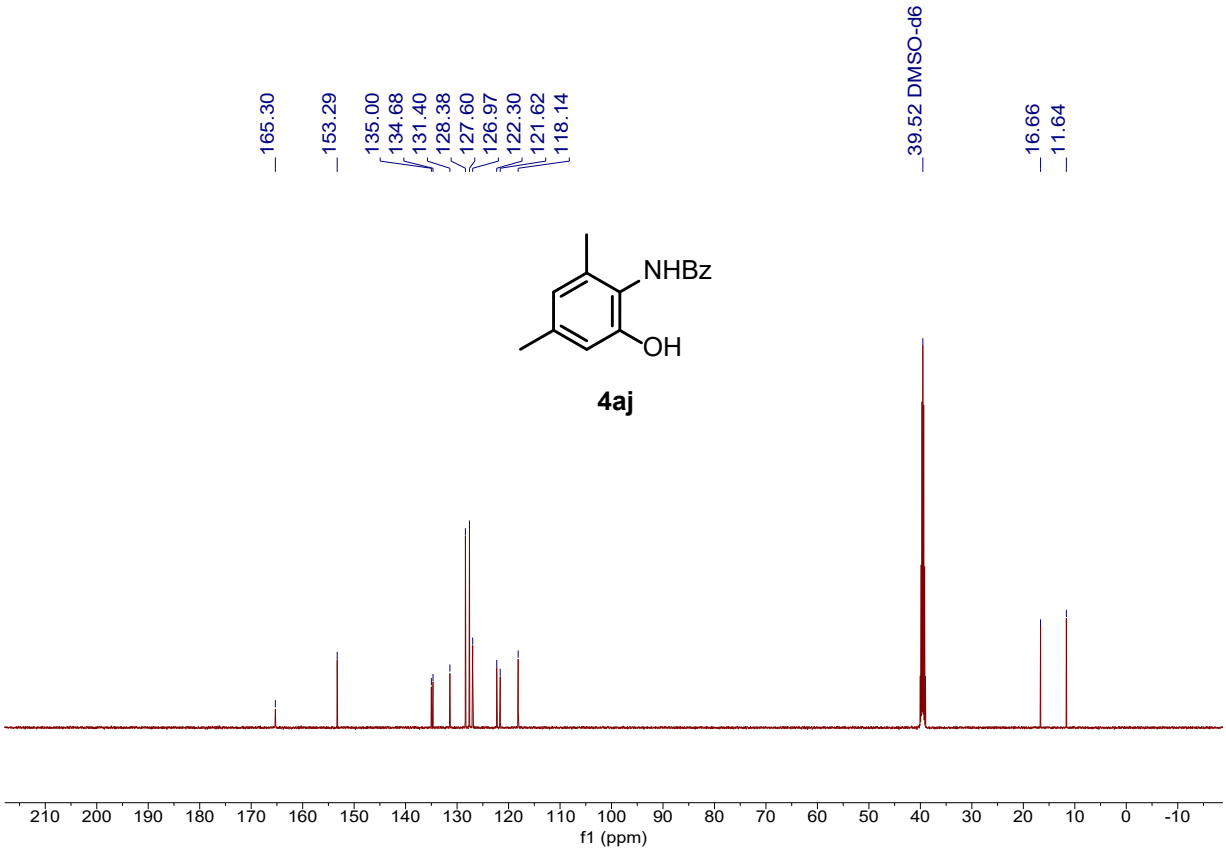
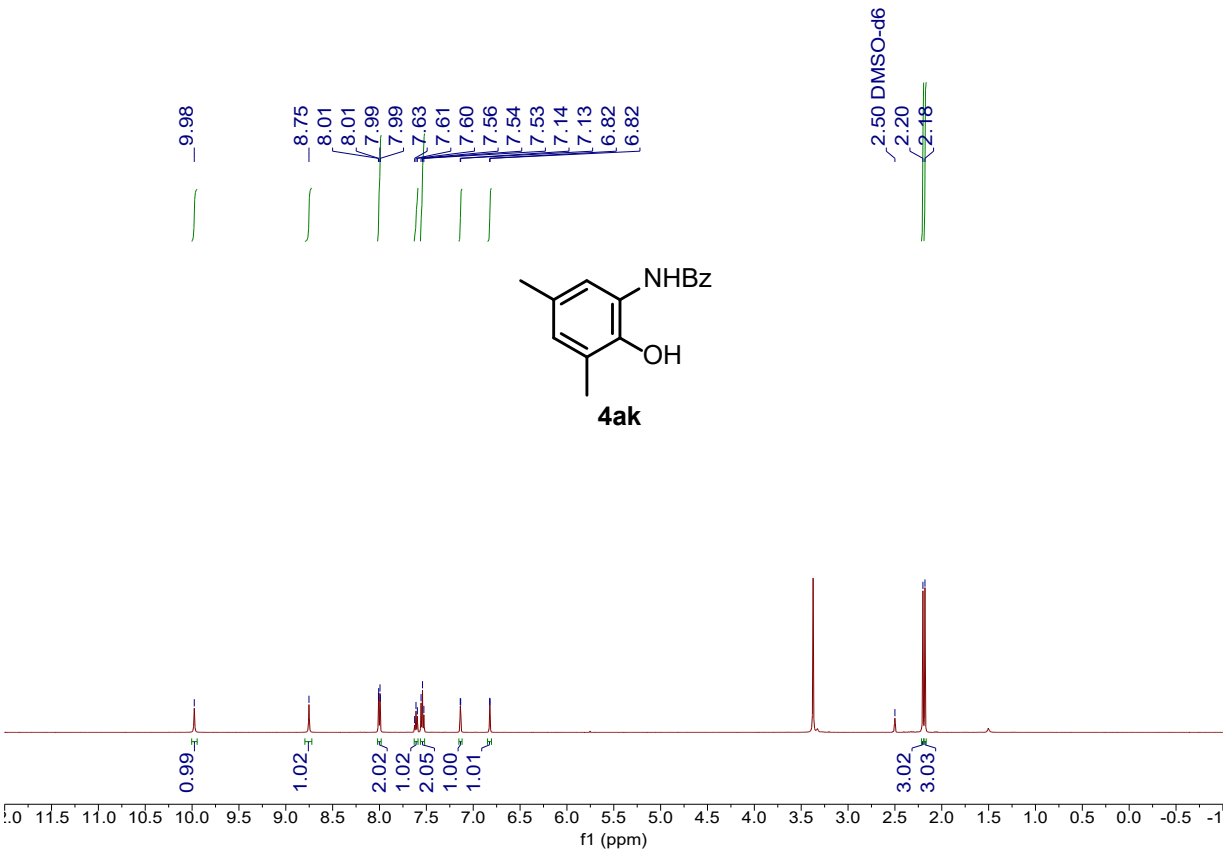


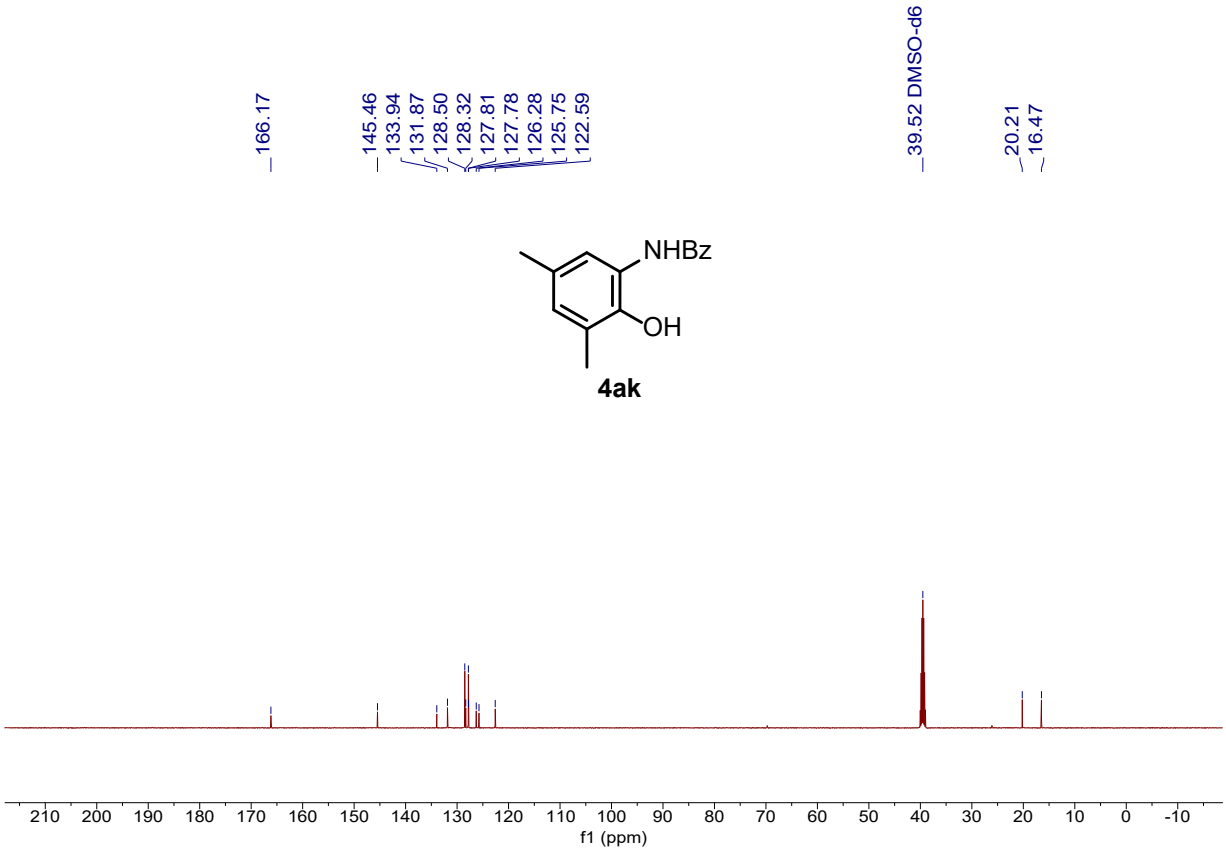
^{13}C NMR of Compound 4aj (126 MHz, DMSO- d_6)



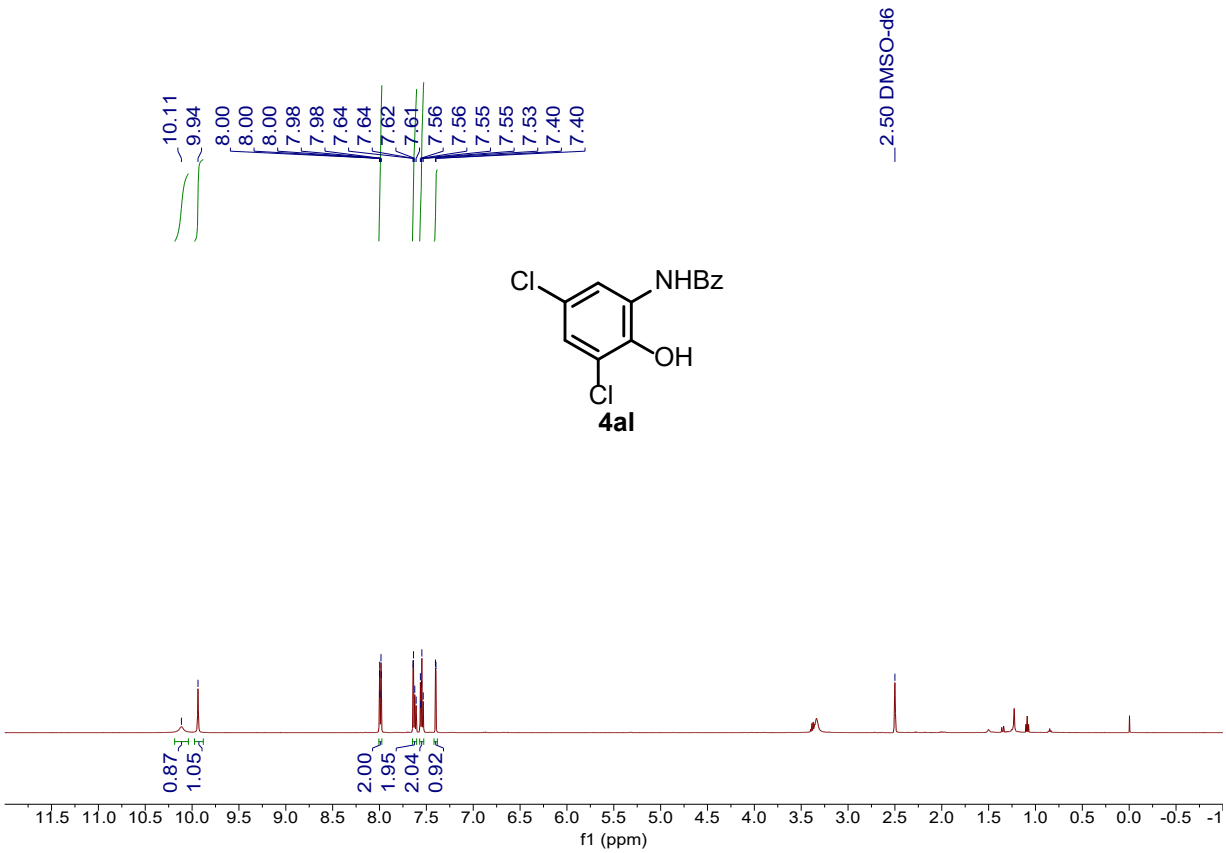
^{1}H NMR of Compound 4ak (500 MHz, DMSO- d_6)



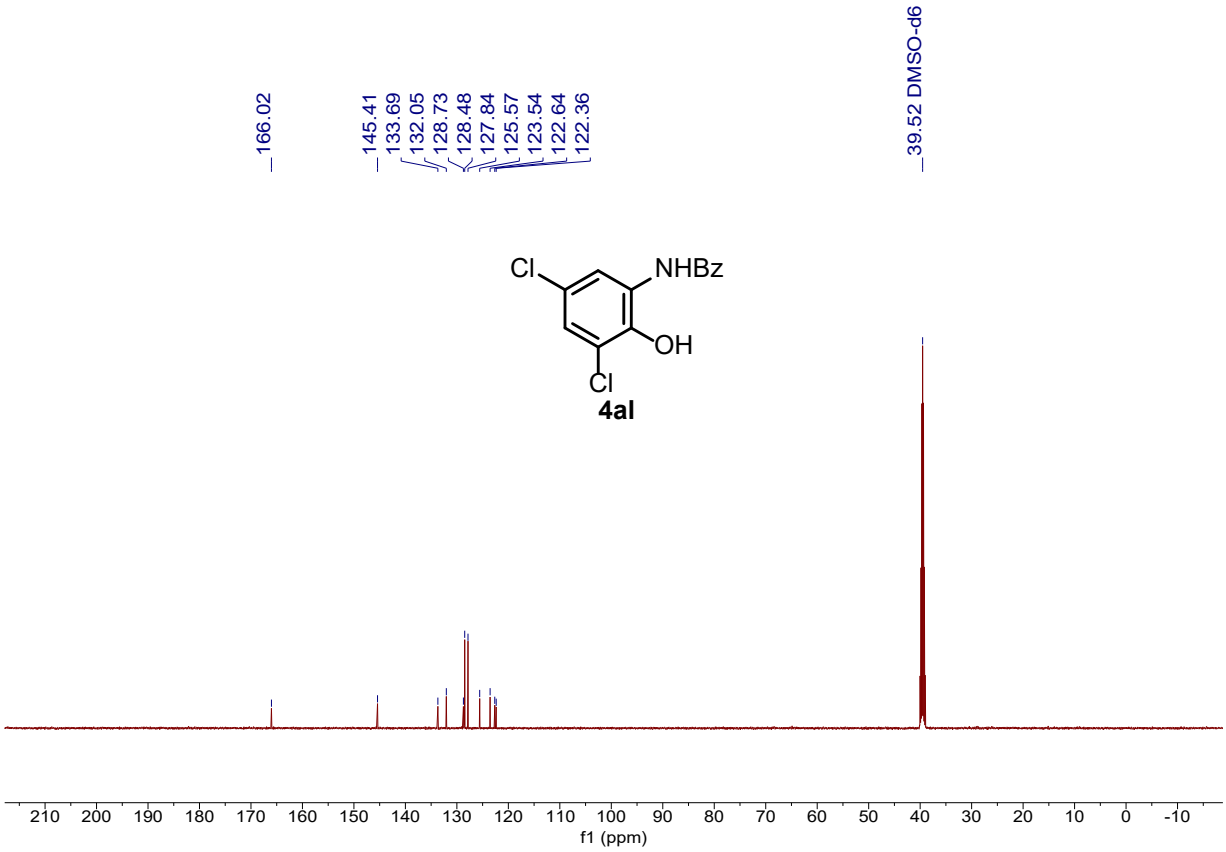
^{13}C NMR of Compound 4ak (126 MHz, DMSO- d_6)



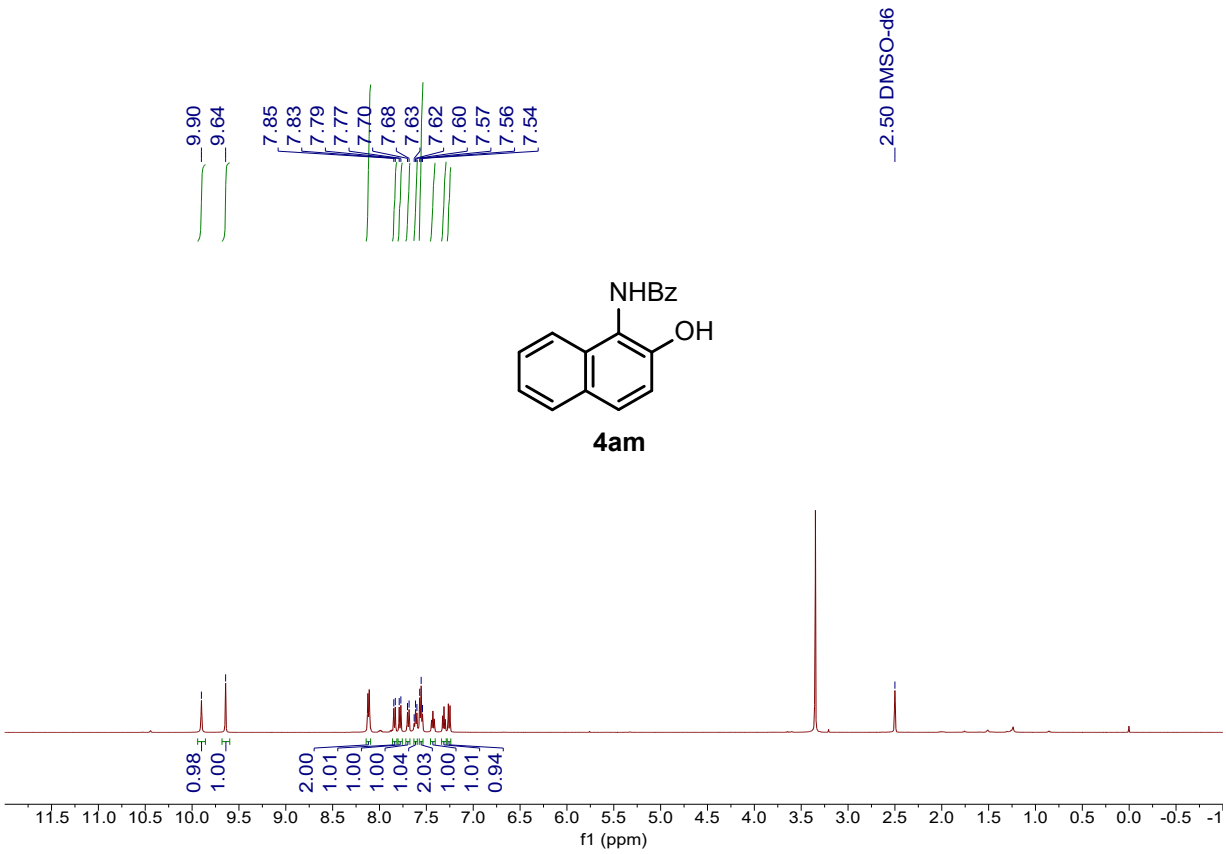
^{1}H NMR of Compound 4al (500 MHz, DMSO- d_6)



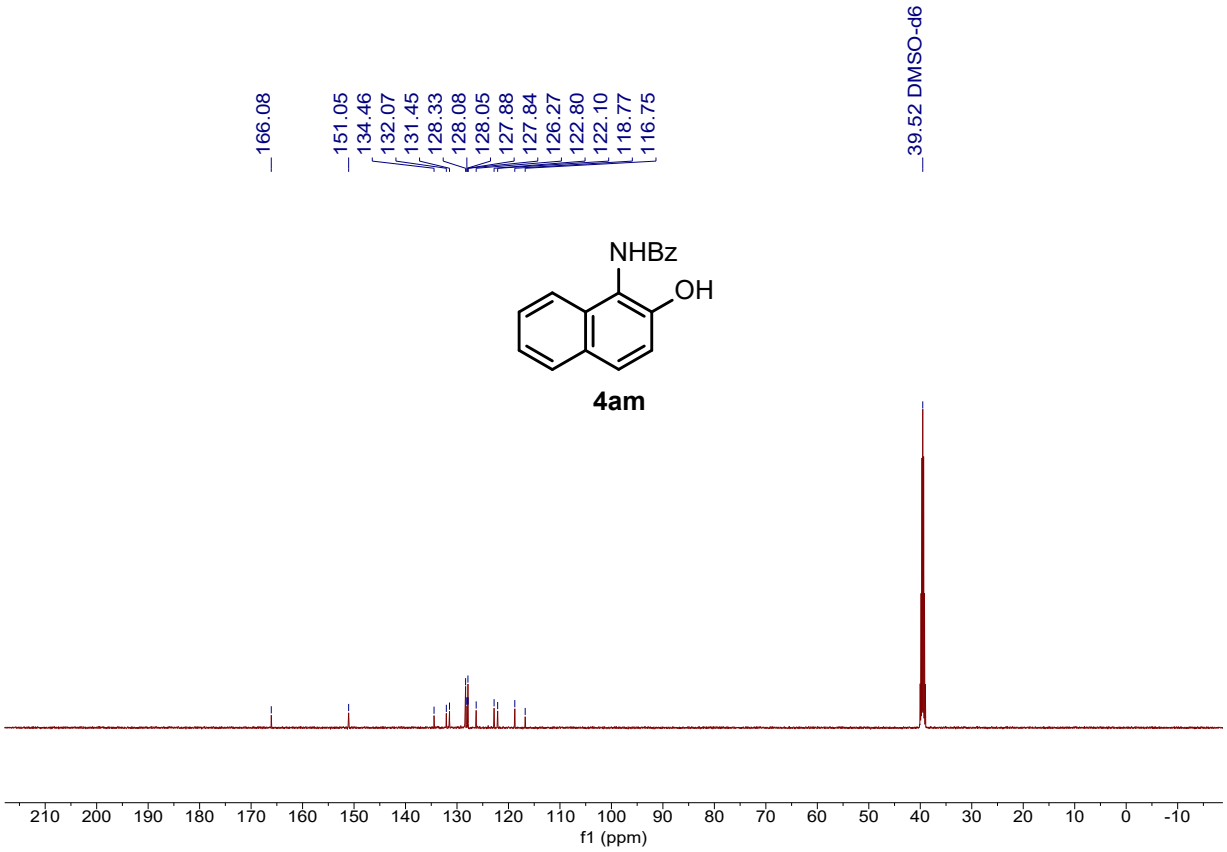
^{13}C NMR of Compound 4al (126 MHz, DMSO- d_6)



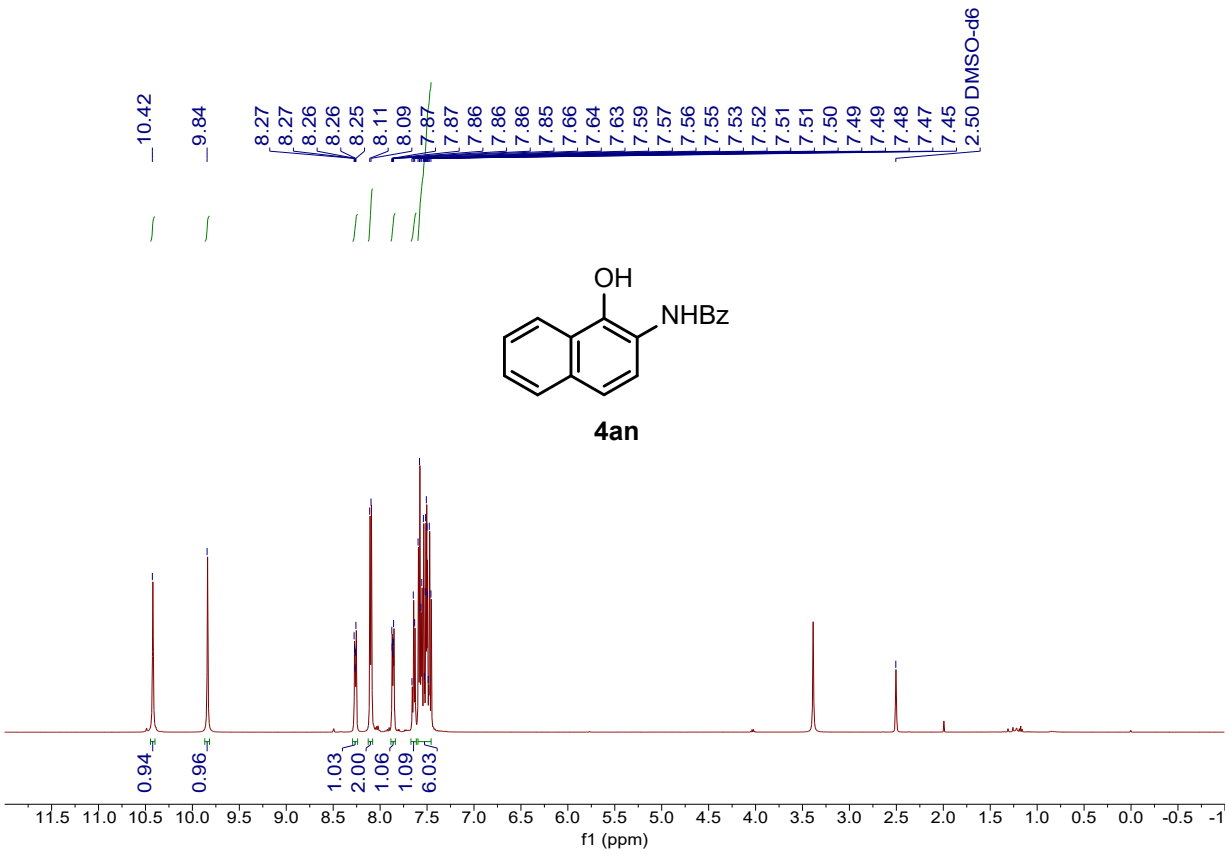
^{1}H NMR of Compound 4am (500 MHz, DMSO- d_6)



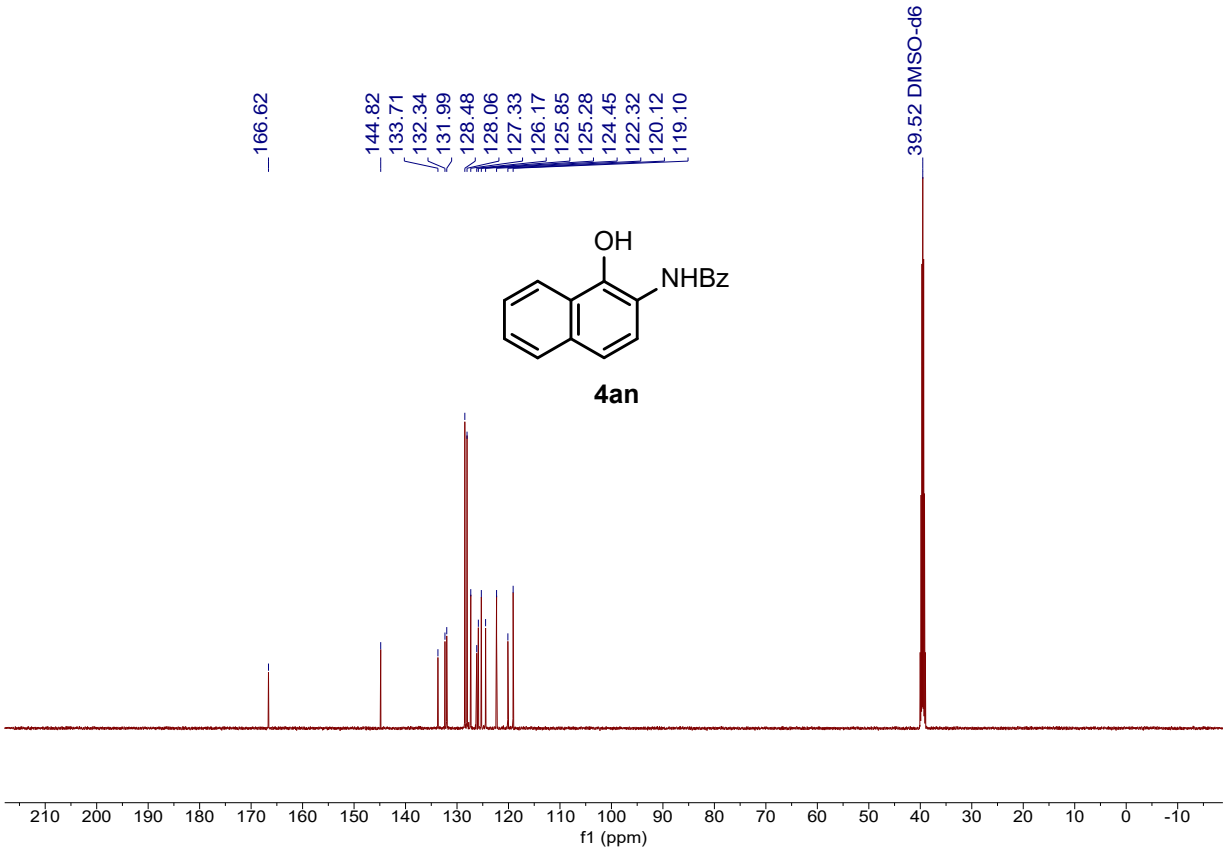
^{13}C NMR of Compound 4am (126 MHz, DMSO- d_6)



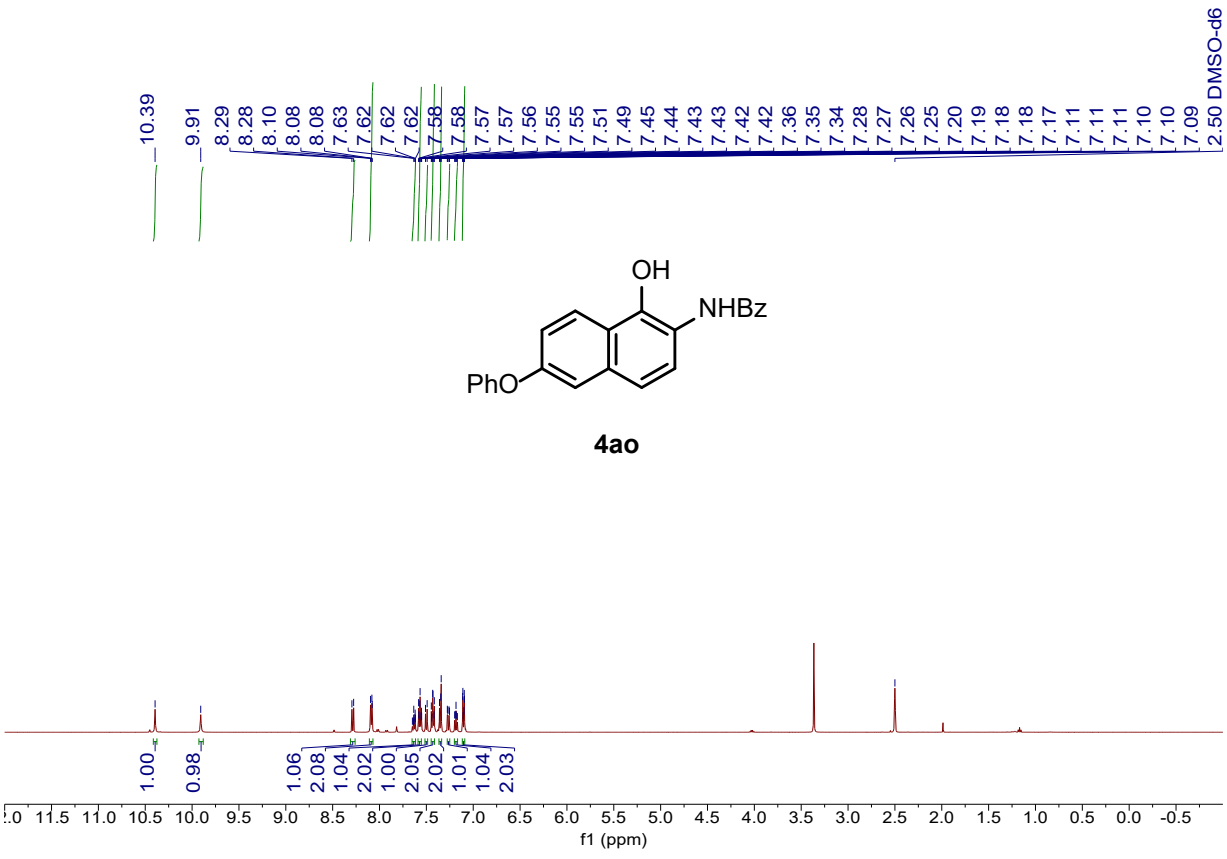
^{1}H NMR of Compound 4an (500 MHz, DMSO- d_6)



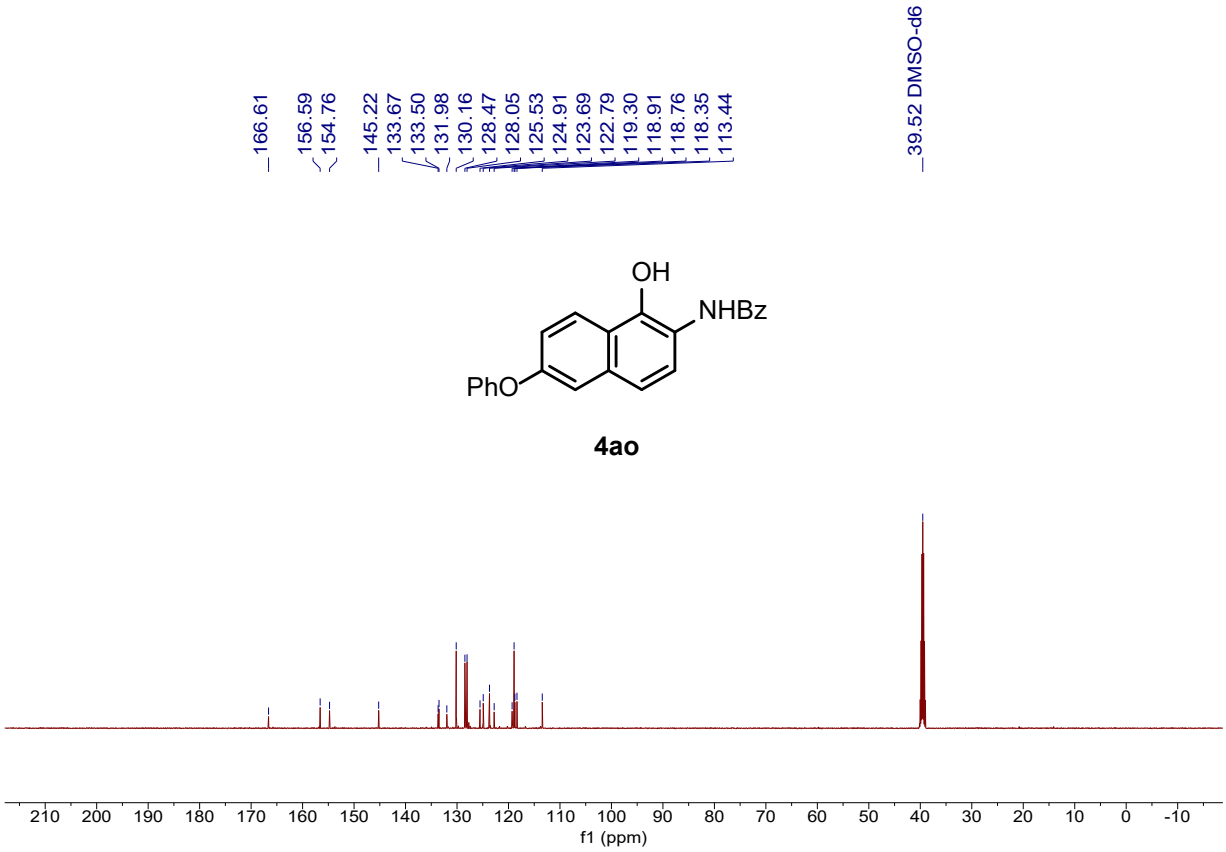
^{13}C NMR of Compound 4an (126 MHz, DMSO- d_6)



^{1}H NMR of Compound 4ao (500 MHz, DMSO- d_6)

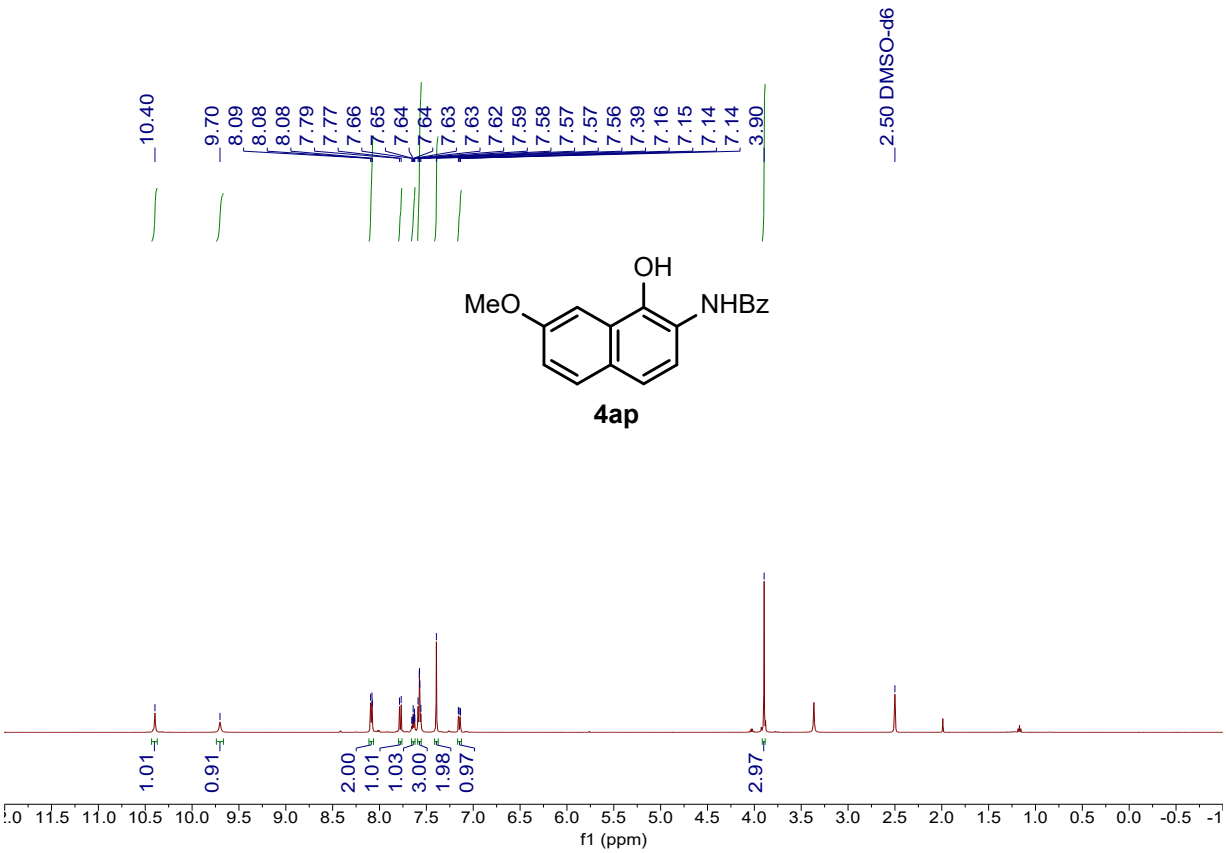


^{13}C NMR of Compound 4ao (126 MHz, DMSO- d_6)



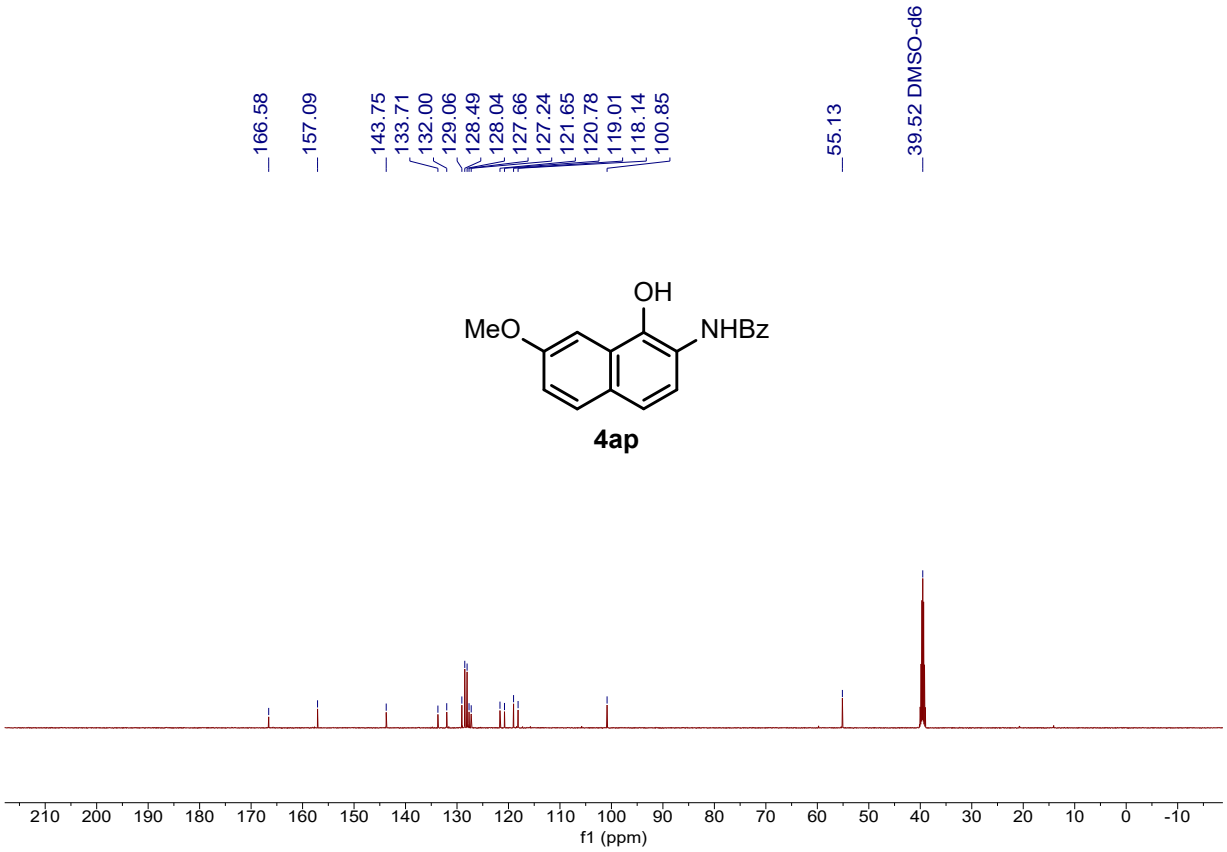
4ao

^{1}H NMR of Compound 4ap (500 MHz, DMSO- d_6)

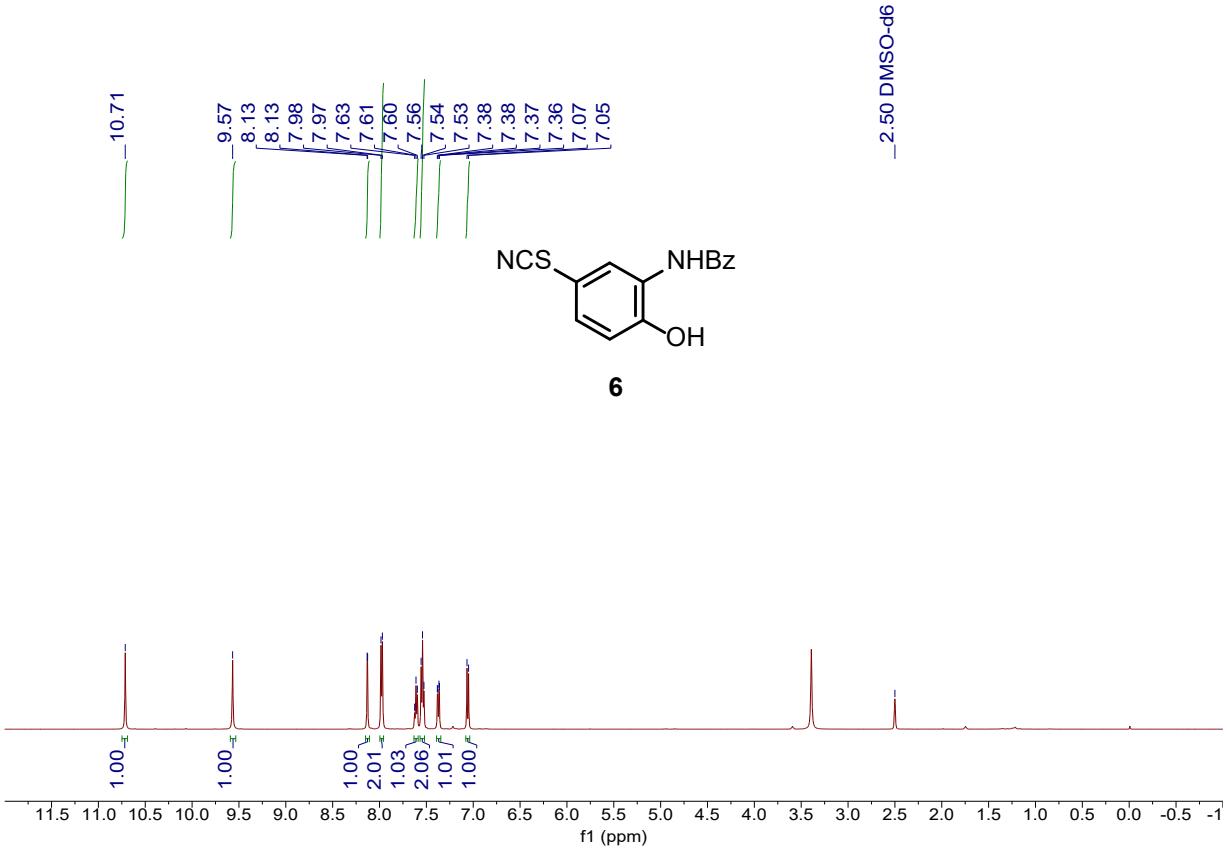


4ap

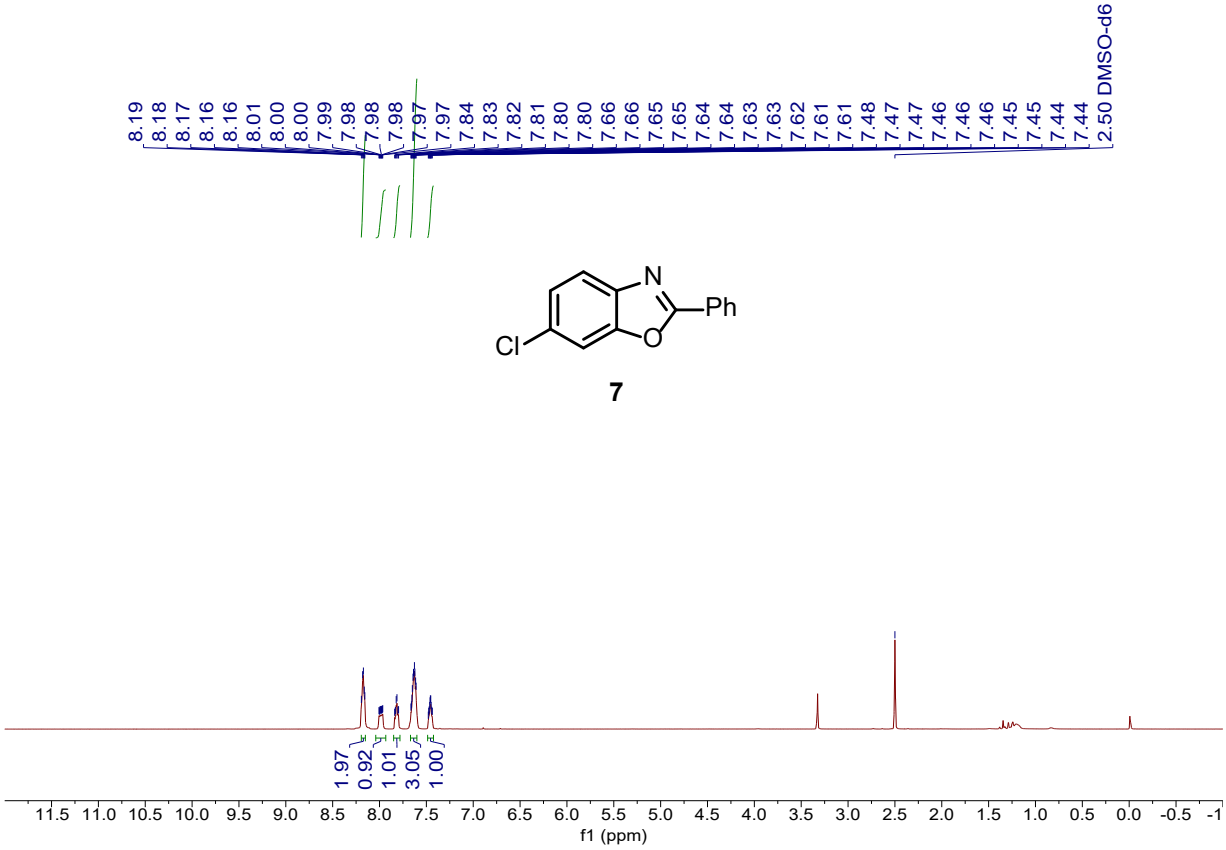
^{13}C NMR of Compound 4ap (126 MHz, DMSO- d_6)



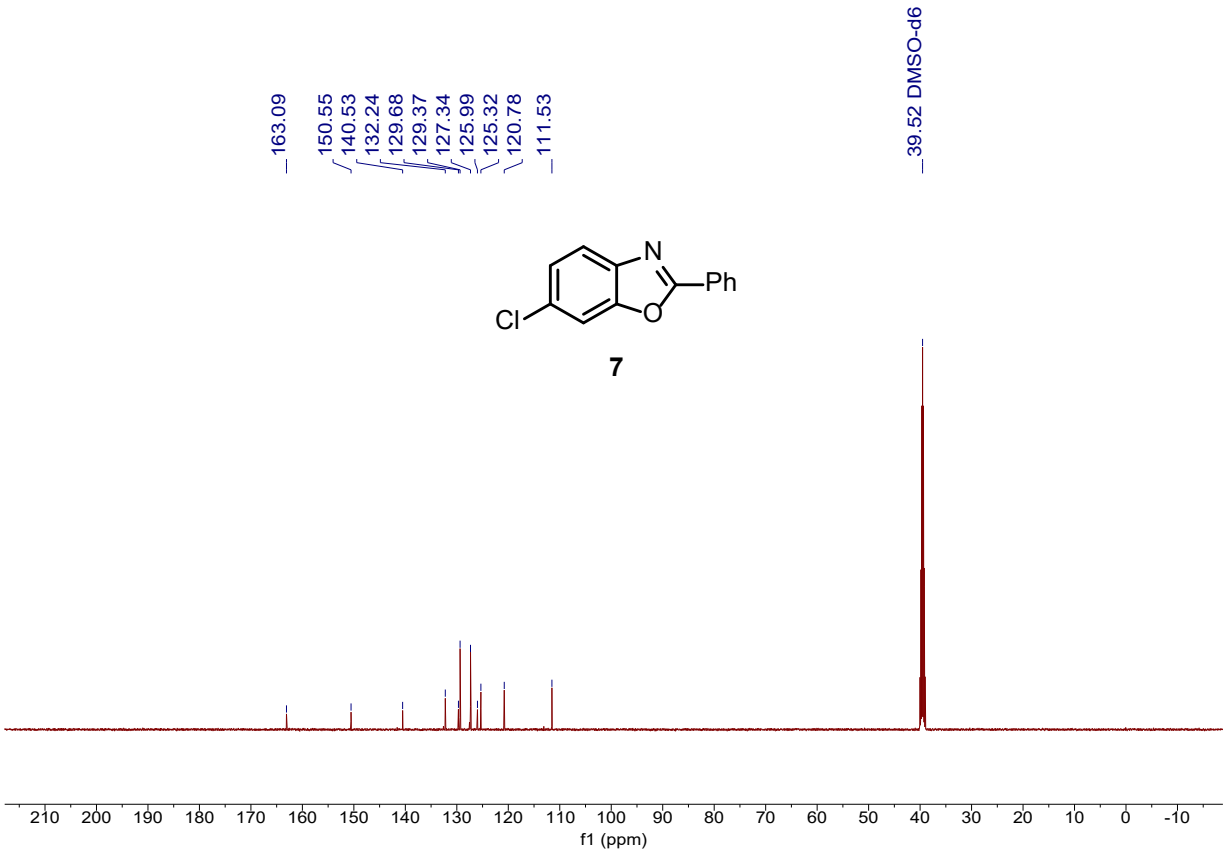
^{1}H NMR of Compound 6 (500 MHz, DMSO- d_6)



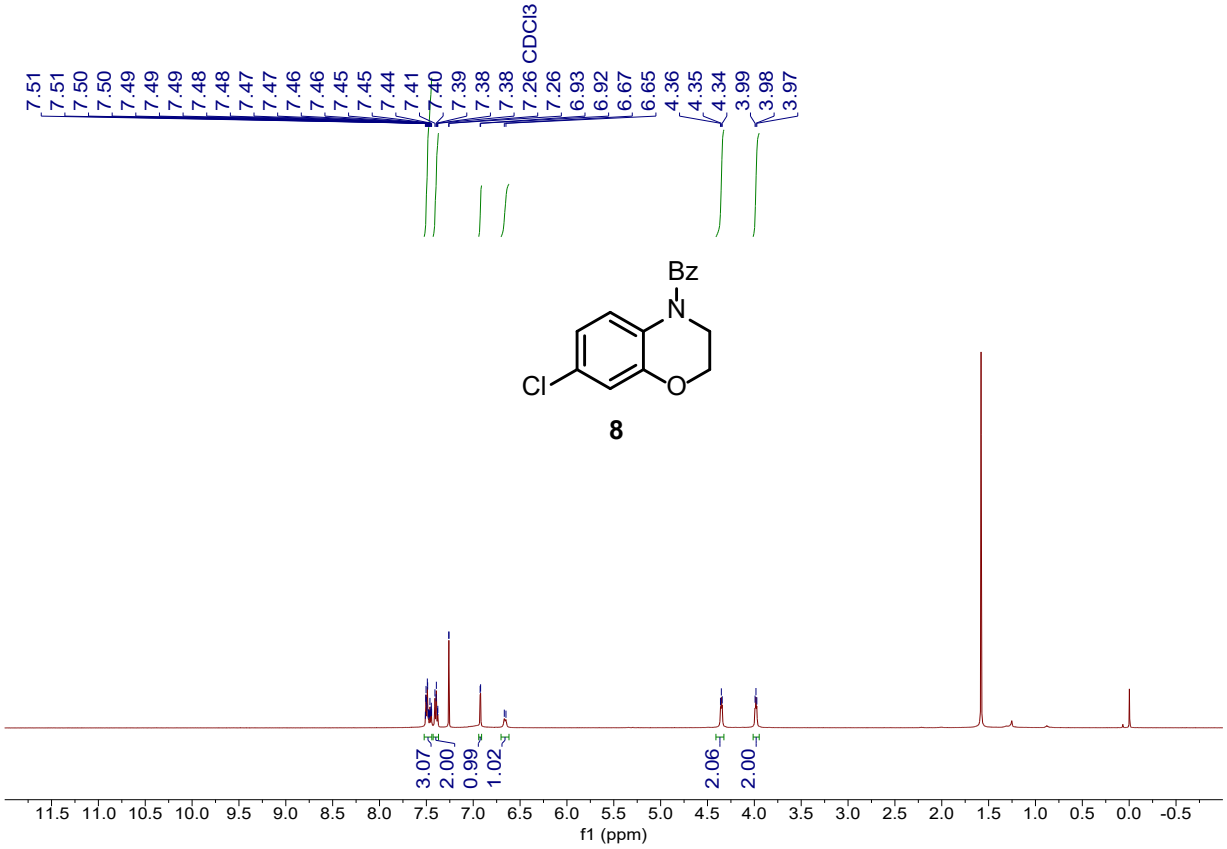
^{1}H NMR of Compound 7 (500 MHz, DMSO- d_6)



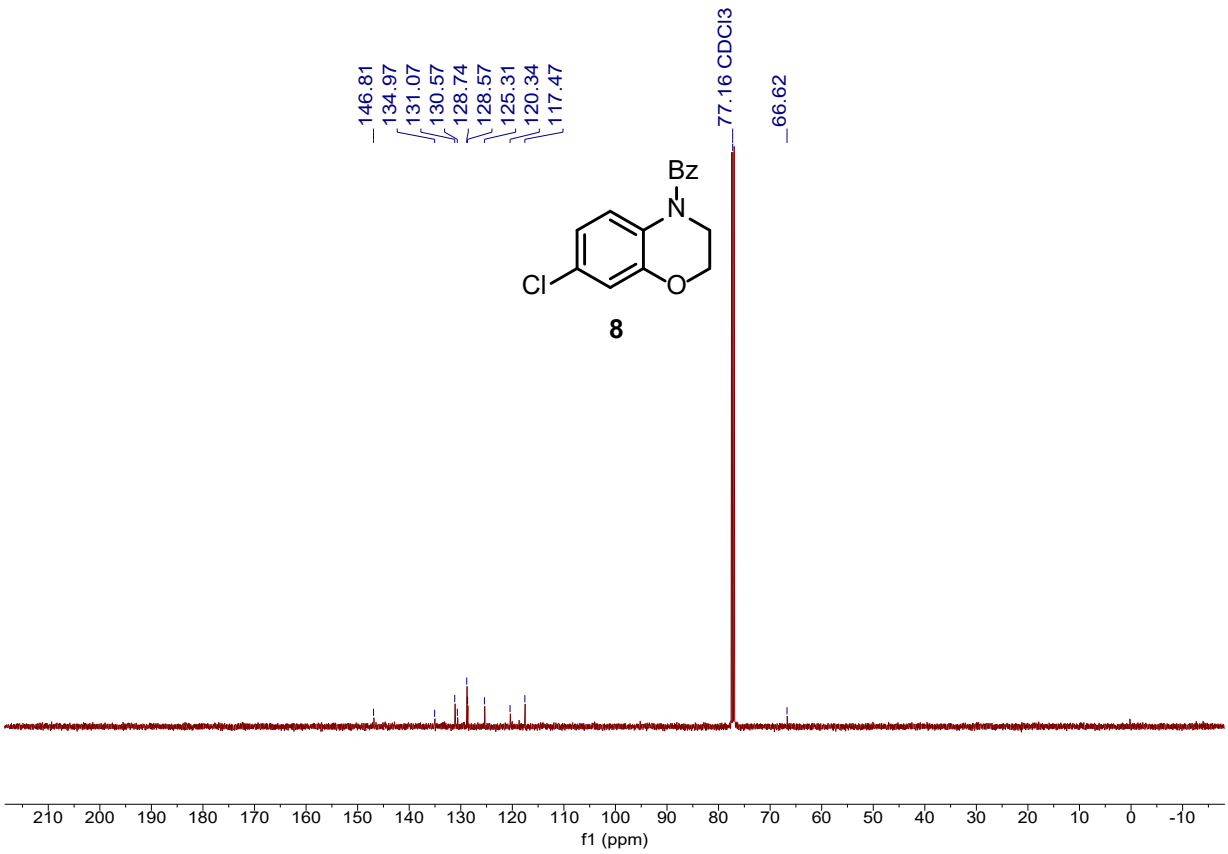
^{13}C NMR of Compound 7 (126 MHz, DMSO- d_6)



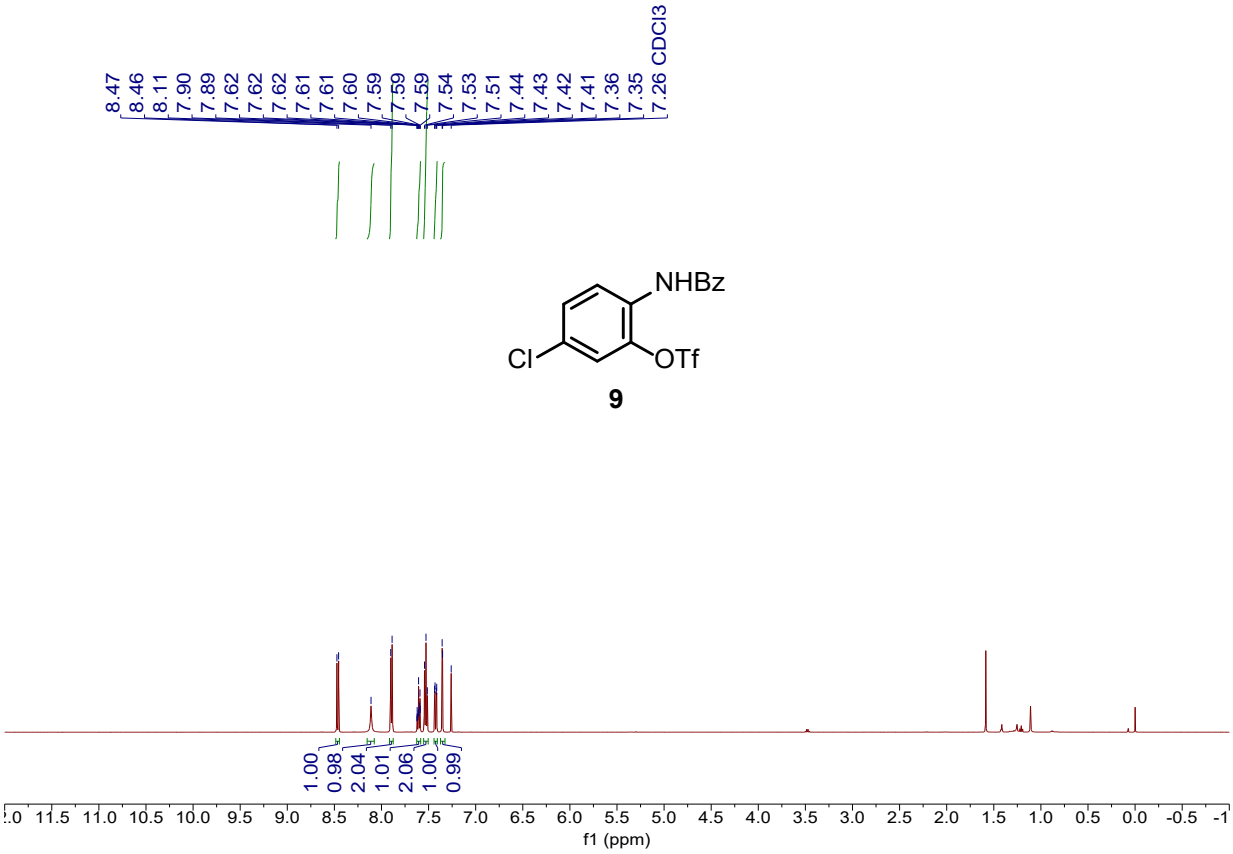
^{1}H NMR of Compound 8 (500 MHz, $CDCl_3$)



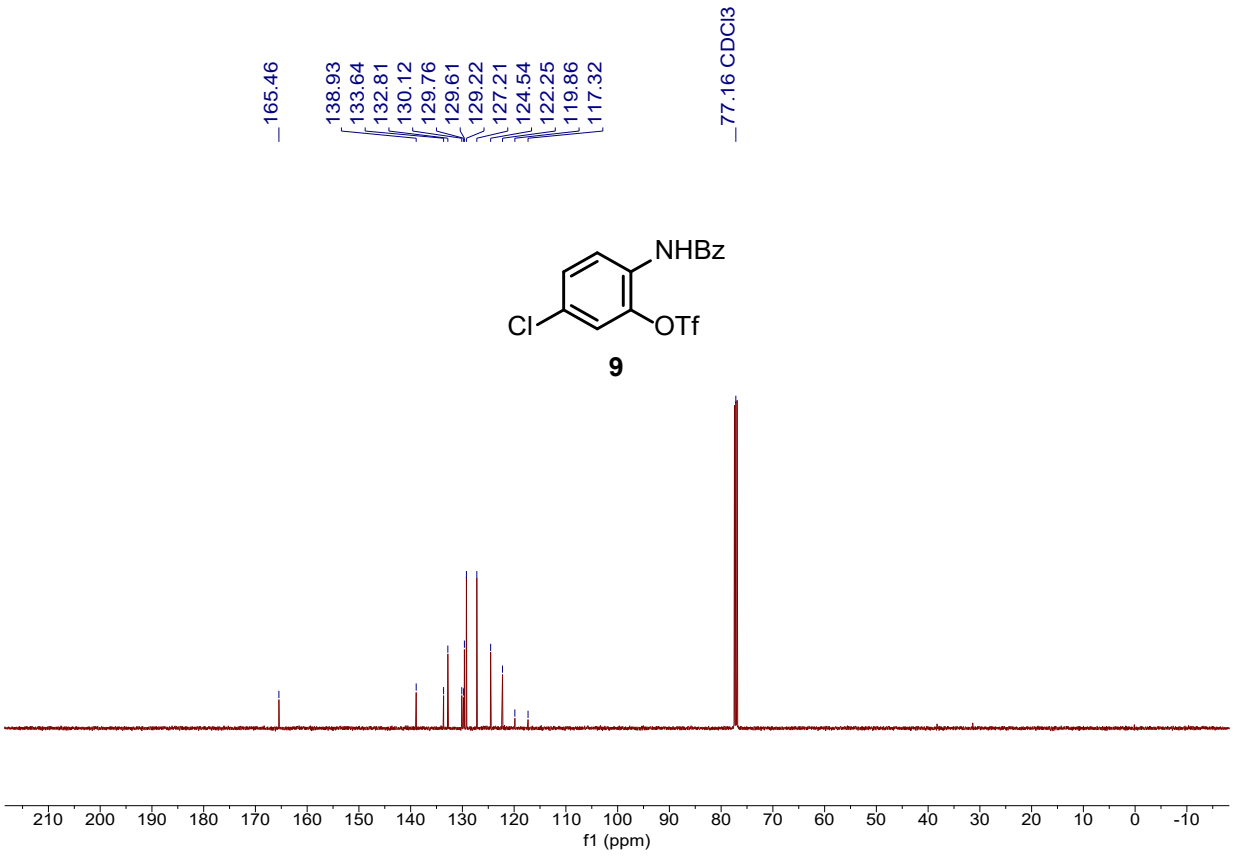
^{13}C NMR of Compound 8 (126 MHz, $CDCl_3$)



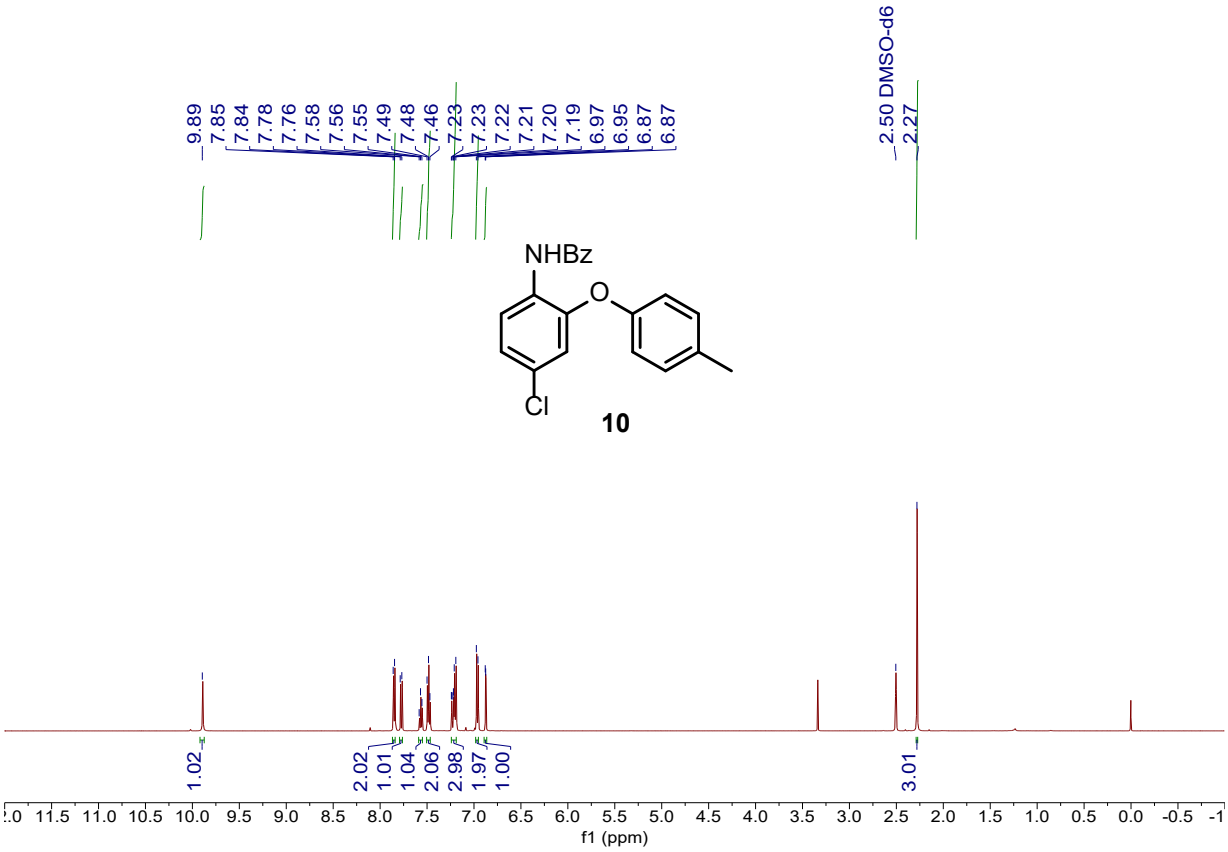
^{1}H NMR of Compound 9 (500 MHz, $CDCl_3$)



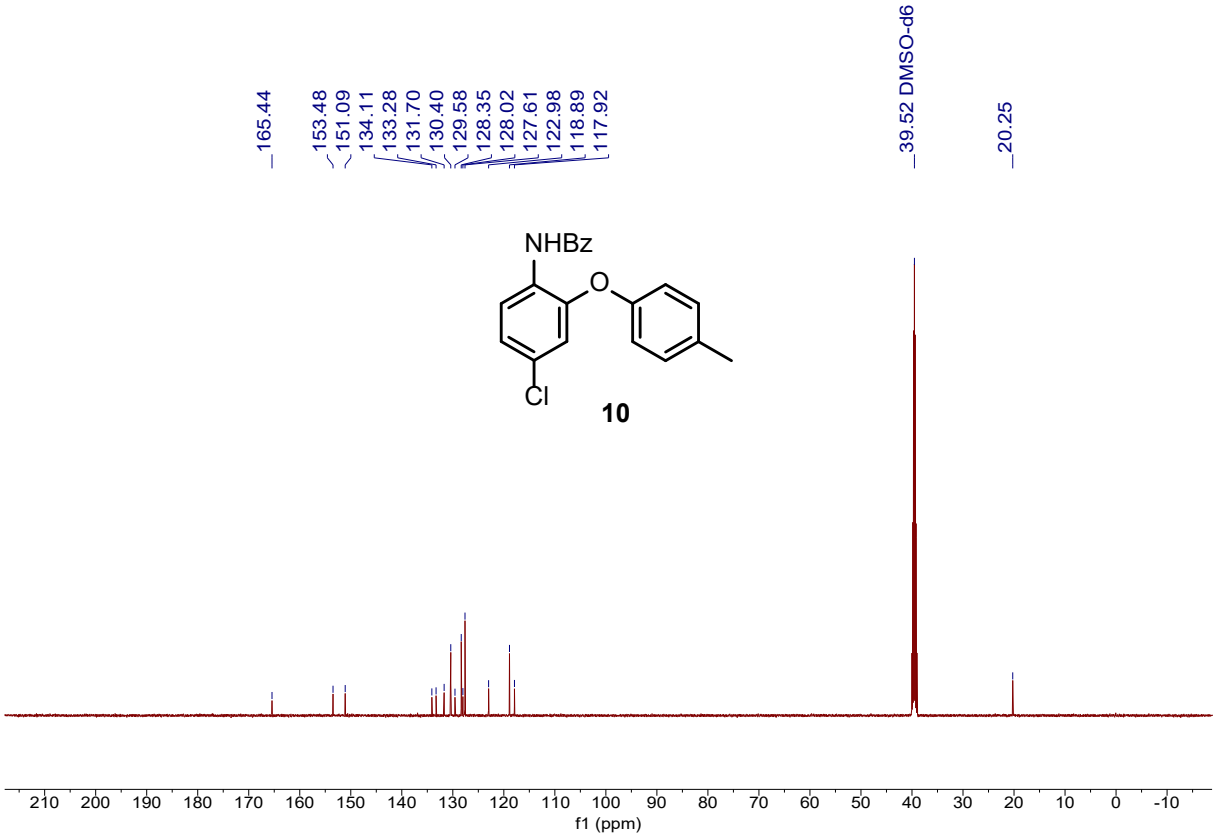
^{13}C NMR of Compound 9 (126 MHz, $CDCl_3$)



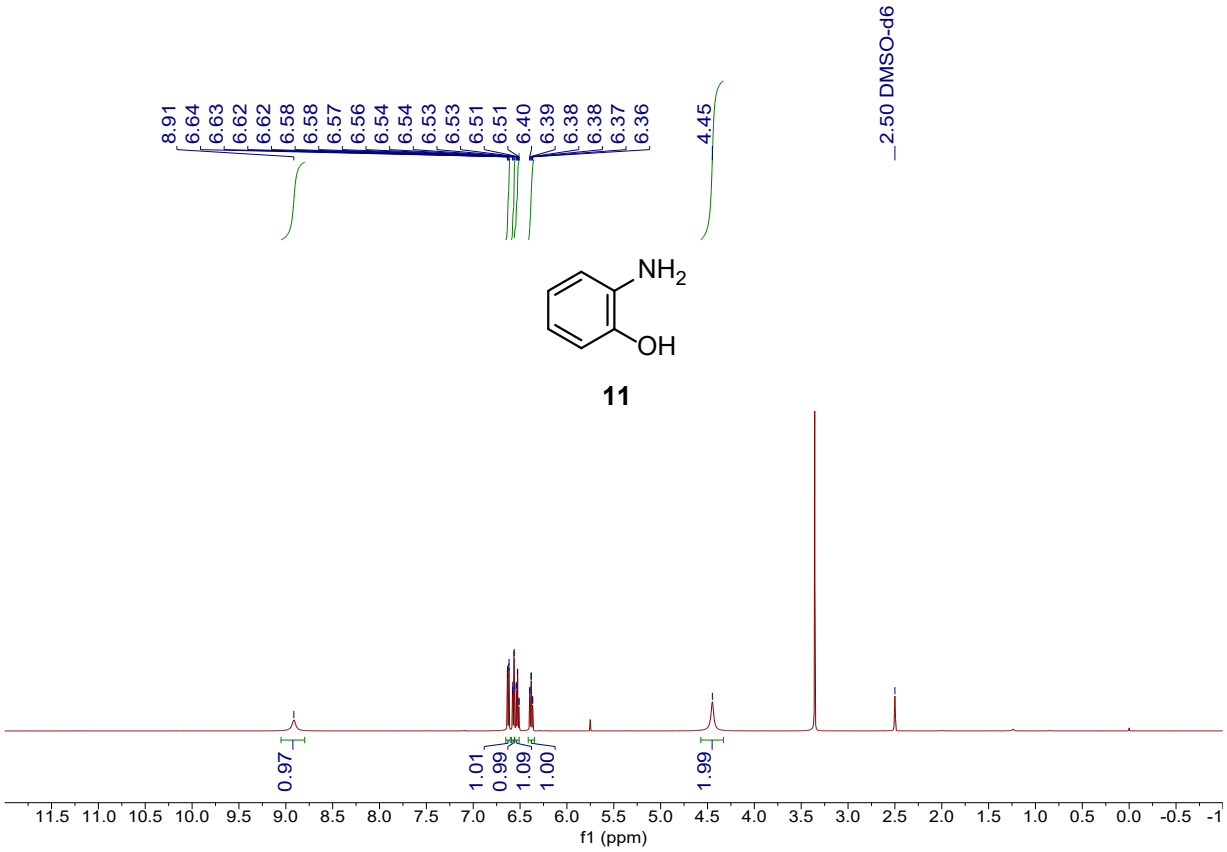
^{1}H NMR of Compound 10 (500 MHz, DMSO- d_6)



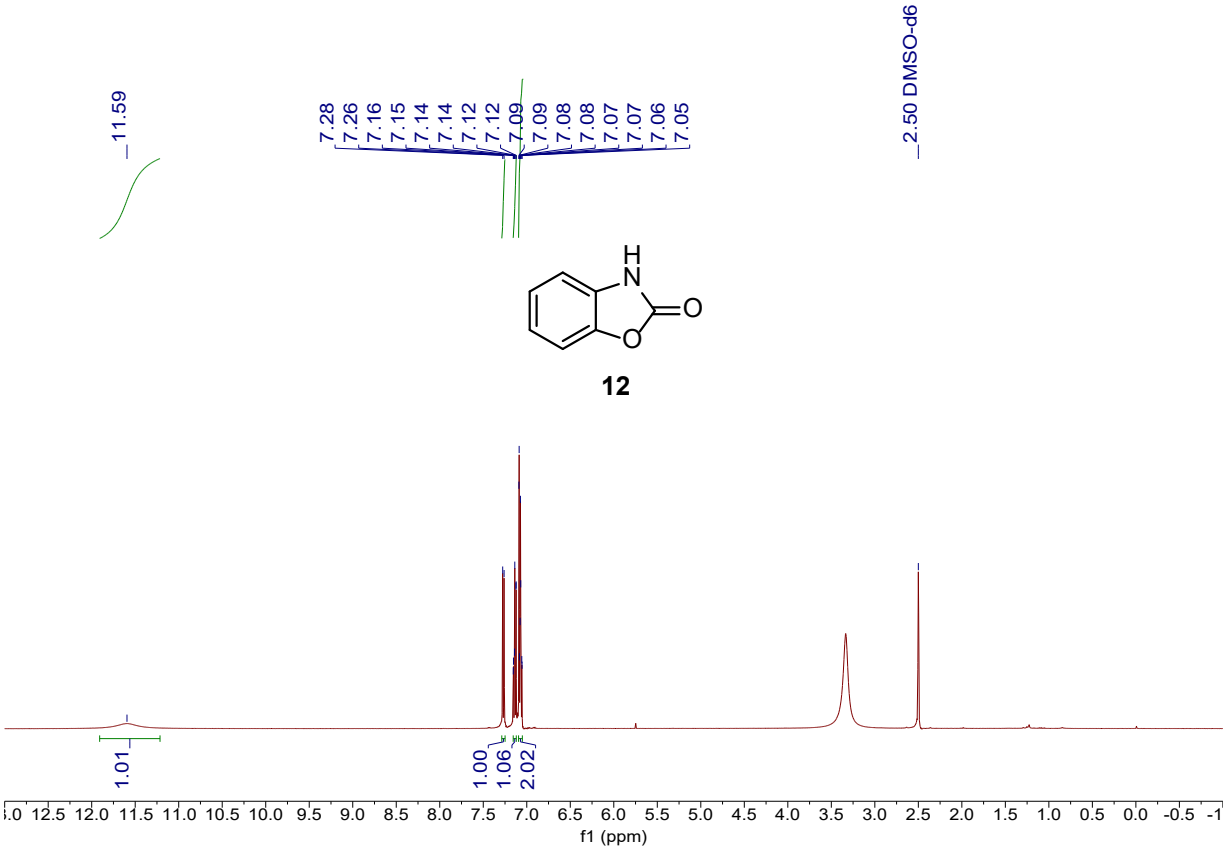
^{13}C NMR of Compound 10 (126 MHz, DMSO- d_6)



^{1}H NMR of Compound 11 (500 MHz, DMSO- d_6)



^{1}H NMR of Compound 12 (500 MHz, DMSO- d_6)



^{1}H NMR of Compound 13 (500 MHz, DMSO- d_6)