Supporting Information

Rapid Access to Fluorinated Anilides via DAST-Mediated Deoxyfluorination of Arylhydroxylamines

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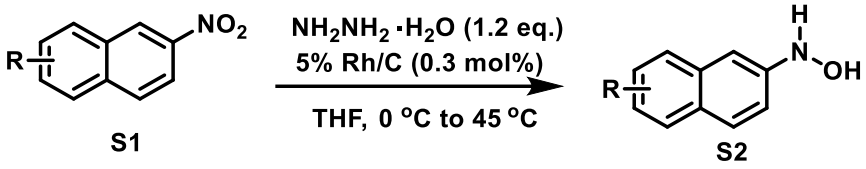
General information

All reactions were performed in conventional Schlenk techniques under an atmosphere of nitrogen unless otherwise stated. Syringe was used to transfer liquids and solutions. 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 200-300 mesh) purchased from SiliCycle was used for flash chromatography.

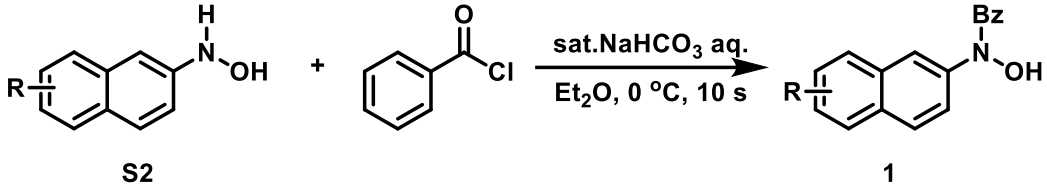
Proton (^{1}H) and carbon (^{13}C) NMR spectra were taken on a Bruker AV-500 spectrometer operating at 500 MHz or 400 MHz for proton and 126 MHz or 101 MHz for carbon nuclei using $CDCl_3$ or DMSO-d_6 as solvent, respectively. Chemical shifts were referenced to the residual proton solvent peaks (^{1}H: $CDCl_3$, δ 7.26; DMSO-d_6, δ 2.50), solvent ^{13}C signals ($CDCl_3$, δ 77.00; DMSO-d_6, δ 39.60). ^{19}F NMR chemical shifts were determined relative to hexafluorobenzene at δ -164.90 ppm. Proton signal data uses the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet and J = coupling constant. High Resolution Mass Spectrometry was obtained with a Bruker Apex II mass instrument under the conditions of electrospray ionization (ESI) in both positive and negative mode.

General procedure for the synthesis of Arylhydroxylamines substrates

General procedure A:[1-5]

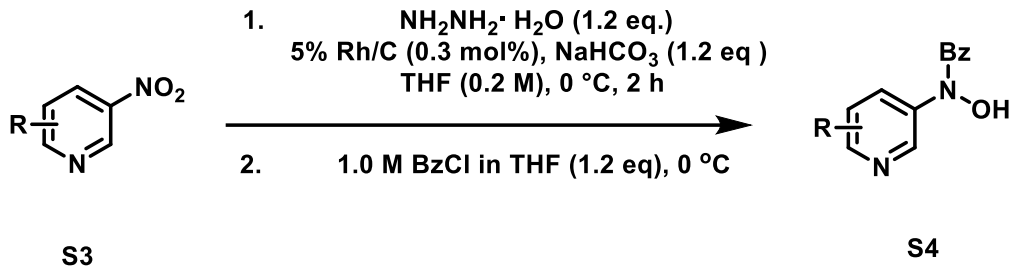


A solution of nitroarene **S1** (1.0 equiv.) and 5% Rh/C (0.30 mol% Rh) in THF (0.324 M) under N_2 atmosphere was cooled to 0 °C. Hydrazine monohydrate (1.2 equiv.) was added dropwise. The reaction mixture was stirred at 0 °C for 1 h and then slowly warmed up to 45 °C and stirred for 4 h in the oil bath. (It is worth noting that the reduction of nitrobenzene compounds does not require heating). The reaction mixture was filtered through diatomite and concentrated *in vacuo.* Recrystallization from CH_2Cl_2/PE at r.t. afforded the title compound **S2**. The resulting crude residue was used directly for the next step.



To a solution of **S2** in ether (0.5 M), saturated aqueous solution (1 M) of sodium bicarbonate was added. Then the solution was cooling to 0 °C, benzoyl chloride (1.1 equiv.) was added dropwise. After stirring for 10 s at 0 °C, the reaction was quenched by saturated aqueous ammonium chloride. The mixture was extracted with CH_2Cl_2, 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 recrystallization (PE/CH_2Cl_2) to obtain **1.**

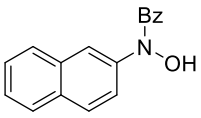
General procedure B:[1]



S3 **S4**

Under nitrogen atmosphere, **S3** (1.00 eq.), 5% Rh/C (0.3 mol%), and $NaHCO_3$ (1.20 eq.) in THF (0.20 M) was cooled to 0 °C in an ice bath. Hydrazine monohydrate (1.20 eq.) was then added dropwise and the reaction mixture was stirred vigorously with a stir bar at 0 °C for 2 h. While the reaction flask was still in the ice bath, a solution of benzoyl chloride (1.20 eq.) in THF (1.00 M) was added dropwise and the resulting mixture was stirred at 0 °C for 5 min and then warmed up to 23 °C. Afterwards, the reaction mixture was concentrated *in vacuo* and the residue was purified by flash column chromatography on silica gel to afford **S4**.

Previously Reported Arylhydroxylamines



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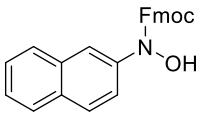

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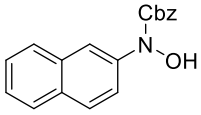

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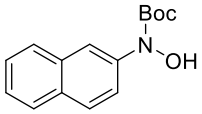

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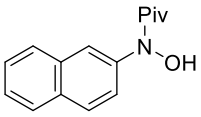

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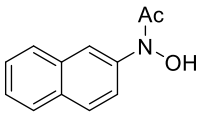

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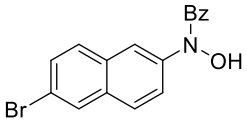

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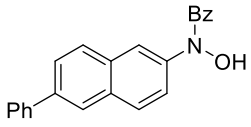

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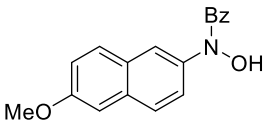

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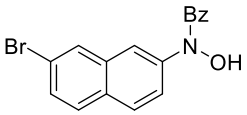

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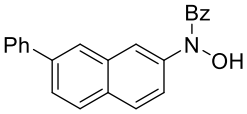

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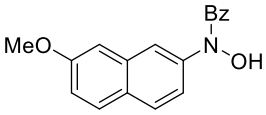

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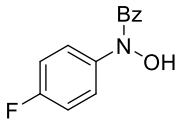

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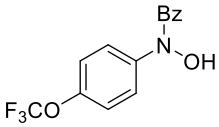

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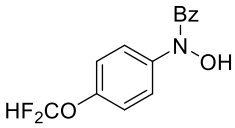

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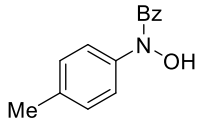

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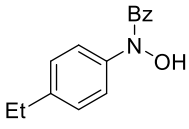

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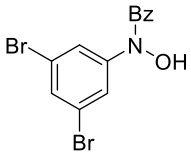

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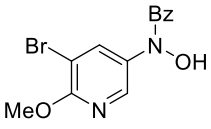

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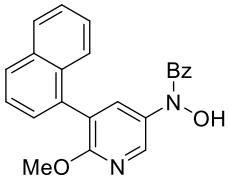

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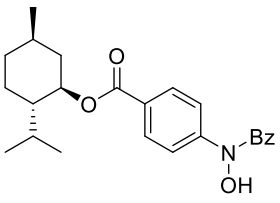
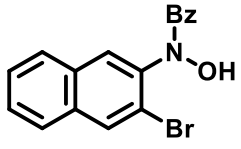


1am[5]

1a-1f, 1h, 1i, 1k, 1m, 1n, 1p, 1r-1v, 1ad, 1ah, 1aj, 1am were known compounds and were prepared according to the literature-reported procedures.[1-5]

Analytical data of starting materials

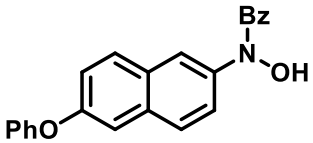
1. *N*-(3-bromonaphthalen-2-yl)-*N*-hydroxybenzamide (1g)



1g

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the pink solid 1g (0.578 g, 51% yield), m.p. = 173-176 ℃; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.03 (s, 1H), 8.11 (s, 1H), 7.90 (s, 1H), 7.76 (s, 2H), 7.55-7.52 (m, 4H), 7.29 (s, 1H), 7.21 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 169.2, 138.7, 134.8, 133.4, 132.0, 130.7, 128.1, 128.0, 127.2, 126.9, 119.7; HRMS (ESI) m/z calcd for $[C_{17}H_{13}BrNO_2]^+$ $[M+H]^+$: 342.0124, found 342.0122.

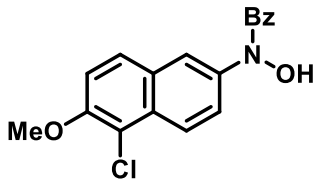
2. *N*-hydroxy-*N*-(6-phenoxynaphthalen-2-yl)benzamide (1j)



1j

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the pink solid **1j** (1.101 g, 62% yield), m.p. = 148-153 ℃; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.29 (s, 1H), 7.61 (s, 2H), 7.51-7.49 (m, 1H), 7.39 (s, 2H), 7.29 (d, *J* = 8.0 Hz, 3H), 7.17 (dd, *J* = 18.8, 10.1 Hz, 6H), 7.00 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.1, 156.5, 154.7, 138.9, 135.6, 131.9, 130.4, 130.2, 129.5, 128.5, 127.9, 127.4, 123.8, 122.6, 120.4, 119.8, 119.0, 113.5; HRMS (ESI) m/z calcd for $[C_{23}H_{18}NO_3]^+$ $[M+H]^+$: 356.1281, found 356.1266.

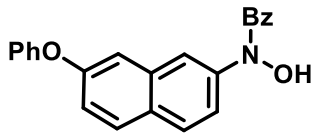
3. *N*-(1-chloro-6-methoxynaphthalen-2-yl)-*N*-hydroxybenzamide (1l)



1l

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the brown solid **1l** (0.948 g, 58% yield), m.p. = 137-139 ℃; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.92 (s, 1H), 8.11 (s, 2H), 7.93 (s, 2H), 7.72 (s, 2H), 7.45 (s, 4H), 4.00 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.3, 152.5, 138.5, 135.5, 130.5, 128.81, 128.75, 128.5, 128.0, 123.5, 123.0, 119.4, 115.1, 115.0, 56.9; HRMS (ESI) m/z calcd for $[C_{18}H_{15}ClNO_3]^+$ $[M+H]^+$: 328.0735, found 328.0737.

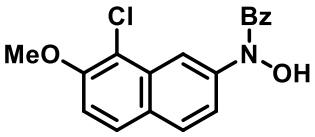
4. *N*-hydroxy-*N*-(7-phenoxynaphthalen-2-yl)benzamide (1o)



1o

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the brown solid **1o** (1.154 g, 65% yield), m.p. = 144-146 ºC; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.29 (s, 1H), 7.68 (d, *J* = 8.7 Hz, 1H), 7.61 (s, 1H), 7.47 (s, 1H), 7.37 (s, 2H), 7.28 (q, *J* = 7.4 Hz, 3H), 7.19-7.15 (m, 4H), 7.07 (dd, *J* = 13.9, 6.5 Hz, 2H), 6.97 (d, *J* = 7.6 Hz, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.3, 156.6, 155.2, 140.6, 135.6, 134.1, 130.5, 130.2, 129.9, 128.6, 128.1, 128.0, 127.6, 123.8, 120.5, 119.5, 119.0, 118.5, 113.9; HRMS (ESI) m/z calcd for $[C_{23}H_{18}NO_3]^+$ $[M+H]^+$: 356.1281, found 356.1264.

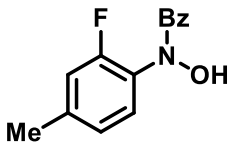
5. *N*-(8-chloro-7-methoxynaphthalen-2-yl)-*N*-hydroxybenzamide (1q)



1q

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the brown solid **1q** (0.899 g, 55% yield), m.p. = 130-137 ℃; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.99 (s, 1H), 8.29 (t, *J* = 3.3 Hz, 1H), 7.98-7.91 (m, 2H), 7.79 (d, *J* = 2.2 Hz, 1H), 7.73 (ddd, *J* = 8.6, 4.1, 1.7 Hz, 2H), 7.53-7.48 (m, 2H), 7.46 (dd, *J* = 8.1, 6.4 Hz, 2H), 3.99 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.5, 153.1, 141.6, 135.5, 131.2, 130.6, 128.8, 128.5, 128.2, 128.0, 126.6, 119.5, 114.7, 113.8, 113.4, 56.8; HRMS (ESI) m/z calcd for $[C_{18}H_{15}ClNO_3]^+$ $[M+H]^+$: 328.0735, found 328.0739.

6. *N*-(2-fluoro-4-methylphenyl)-*N*-hydroxybenzamide (1w)

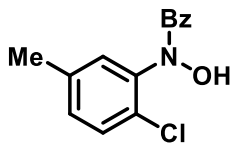


1w

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the yellow solid **1w** (0.796 g, 65% yield), m.p. = 116-119 ℃; R_f = 0.3 (PE:EA = 4:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.59 (s, 1H), 7.46 (d, *J* = 7.3 Hz, 2H), 7.31 (dt, *J* = 13.5, 7.7 Hz, 2H), 7.22 (t, *J* = 7.7 Hz, 2H), 6.91 (dd, *J* = 8.1, 1.8 Hz, 1H), 6.81 (dd, *J* = 10.7, 1.8 Hz, 1H), 2.29 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 168.3, 156.8 (d, J_{C-F}= 253.1 Hz), 142.1 (d, J_{C-F} = 7.7 Hz),

132.0, 130.9, 129.4, 128.4, 127.9, 125.8 (d, J_{C-F} = 12.7 Hz), 125.4 (d, J_{C-F} = 3.4 Hz), 117.0 (d, J_{C-F} = 19.5 Hz), 21.16 (d, J_{C-F}= 1.5 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -123.65 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{13}FNO]^+$ $[M+H]^+$: 246.0925, found 246.0932.

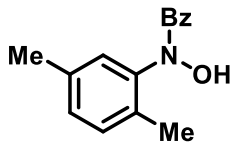
7. *N*-(2-chloro-5-methylphenyl)-*N*-hydroxybenzamide (1x)



1x

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1x** (0.769 g, 59% yield), m.p. = 143-144 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.96 (s, 1H), 7.41 (d, *J* = 7.0 Hz, 2H), 7.27 (t, *J* = 7.4 Hz, 1H), 7.17 (d, *J* = 8.2 Hz, 4H), 7.03–7.00 (m, 1H), 2.21 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 167.7, 138.2, 137.4, 131.9, 131.6, 131.2, 130.2, 128.6, 128.1, 20.7; HRMS (ESI) m/z calcd for $[C_{14}H_{13}ClNO_2]^+$ $[M+H]^+$: 262.0630, found 262.0633.

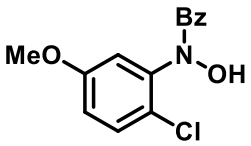
8. *N*-(2,5-dimethylphenyl)-*N*-hydroxybenzamide (1y)



1y

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1y** (0.844 g, 70% yield), m.p. = 126-127 °C; R_f = 0.4 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.60 (s, 1H), 7.76 (s, 1H), 7.42 (s, 4H), 7.10 (d, *J* = 44.3 Hz, 3H), 2.21 (s, 6H); ^{13}C NMR (126 MHz, DMSO-*d6*): δ 141.4, 136.2, 135.6, 132.3, 130.9, 130.7, 129.2, 128.7, 128.3, 20.8, 17.6; HRMS (ESI) m/z calcd for $[C_{15}H_{16}NO_2]^+$ $[M+H]^+$: 242.1176, found 242.1187.

9. *N*-(2-chloro-5-methoxyphenyl)-*N*-hydroxybenzamide (1z)

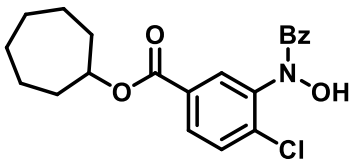


1z

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the pink solid **1z** (0.928 g, 67% yield), m.p. = 116-118 °C; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.63 (s, 1H), 7.42 (d, *J* = 7.0 Hz, 2H), 7.28 (t, *J* = 7.4 Hz, 1H), 7.17

(dd, *J* = 8.2, 4.5 Hz, 3H), 6.91 (s, 1H), 6.78–6.75 (m, 1H), 3.66 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 167.9, 158.8, 138.3, 131.9, 131.3, 130. 9, 128.6, 128.1, 124.5, 117.2, 116.2, 55.8; HRMS (ESI) m/z calcd for $[C_{14}H_{13}ClNO_3]^+$ $[M+H]^+$: 278.0578, found 278.0582.

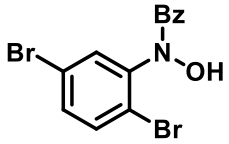
10. cycloheptyl 4-chloro-3-(*N*-hydroxybenzamido)benzoate (1aa)



1aa

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the Viscous oily liquid **1aa** (1.180 g, 61% yield), R_f = 0.4 (DCM: EtOAc = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.29 (s, 1H), 8.02 (s, 1H), 7.87 (d, *J* = 6.9 Hz, 1H), 7.52–7.37 (m, 3H), 7.28 (s, 1H), 7.18 (s, 2H), 5.08 (s, 1H), 1.92–1.89 (m, 2H), 1.70 (dt, *J* = 14.6, 8.4 Hz, 2H), 1.61 (s, 2H), 1.53 (s, 4H), 1.43 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 168.3, 163.3, 138.3, 136.87, 132.8, 131.8, 130.6, 130.2, 129.9, 129.5, 127.9, 127.4, 75.9, 33.0, 27.6, 22.2; HRMS (ESI) m/z calcd for $[C_{21}H_{23}ClNO_4]^+$ $[M+H]^+$: 388.1311, found 388.1309.

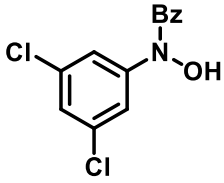
11. *N*-(2,5-dibromophenyl)-*N*-hydroxybenzamide (1ab)



1ab

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the pink solid **1ab** (1.180 g, 64% yield), m.p. = 121-122 ºC; R_f = 0.3 (DCM:EtOAc = 50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.01 (s, 1H), 7.51 (s, 1H), 7.42–7.41 (m, 2H), 7.36 (d, *J* = 8.5 Hz, 1H), 7.31 (t, *J* = 7.3 Hz, 1H), 7.26 (d, *J* = 8.4 Hz, 1H), 7.22–7.19 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): 167.7, 140.6, 134.8, 134.1, 131.5, 128.6, 128.2, 122.4, 121.2; HRMS (ESI) m/z calcd for $[C_{13}H_{10}Br_2NO_2]^+$ $[M+H]^+$: 369.9073, found 369.9063.

12. *N*-(3,5-dichlorophenyl)-*N*-hydroxybenzamide (1ac)

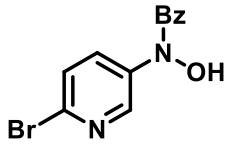


1ac

Followed **General Procedure A** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1ac** (0.983 g, 70% yield), m.p. = 122-124 ℃; R_f = 0.3 (DCM:EA =

50:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.25 (s, 1H), 7.43 (dd, *J* = 7.9, 3.6 Hz, 3H), 7.33 (t, *J* = 7.6 Hz, 2H), 7.19 (d, *J* = 1.8 Hz, 1H), 7.17 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 167.0, 141.7, 1345.0, 132.1, 131.6, 128.6, 128.4, 127.1, 122.3; HRMS (ESI) m/z calcd for $[C_{13}H_{10}Cl_2NO_2]^+$ $[M+H]^+$: 282.0084, found 282.0086.

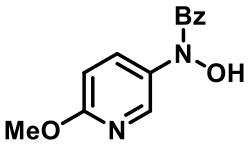
13. *N*-(6-bromopyridin-3-yl)-*N*-hydroxybenzamide (1ae)



1ae

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1ae** (1.124 g, 77% yield), m.p. = 132-134 ℃; R_f = 0.3 (PE:EA = 1:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 9.29 (s, 1H), 8.22 (s, 1H), 7.65 (s, 1H), 7.43 (d, *J* = 7.7 Hz, 2H), 7.32 (d, *J* = 20.6 Hz, 2H), 7.23 (s, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.8, 142.5, 138.7, 136.0, 134.5, 131.2, 131.0, 128.7, 128.0, 127.8; HRMS (ESI) m/z calcd for $[C_{12}H_{10}BrN_2O_2]^+$ $[M+H]^+$: 292.9920, found 292.9926.

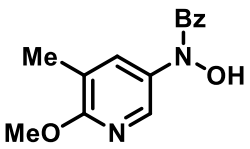
14. *N*-hydroxy-*N*-(6-methoxypyridin-3-yl)benzamide (1af)



1af

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1af** (0.756 g, 62% yield), m.p. = 124-127 ℃; R_f = 0.3 (DCM:EA = 50:1); ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.82 (s, 1H), 8.33 (s, 1H), 7.88 (s, 1H), 7.67 (s, 2H), 7.44 (dd, *J* = 14.8, 7.2 Hz, 3H), 6.88 (d, *J* = 8.9 Hz, 1H), 3.85 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 168.2, 161.4, 141.8, 135.0, 133.4, 130.5, 128.6, 128.0, 127.6, 110.4, 53.5; HRMS (ESI) m/z calcd for $[C_{13}H_{13}N_2O_3]^+$ $[M+H]^+$: 245.0921, found 245.0919.

15. *N*-hydroxy-*N*-(6-methoxy-5-methylpyridin-3-yl)benzamide (1ag)

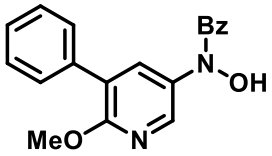


1ag

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1ag** (0.916 g, 71% yield), m.p. = 119-135 ℃; R_f = 0.3 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.95 (s, 1H), 8.32 (s, 1H), 7.97 (t, *J* = 6.4 Hz, 3H), 7.92 (t, *J* = 7.4 Hz, 1H), 7.82 (t, *J*

= 7.4 Hz, 2H), 4.46 (s, 3H), 2.70 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.1, 159.7, 135.1, 133.1, 130.4, 128.6, 128.5, 128.0, 127.5, 120.0, 53.5, 15.5; HRMS (ESI) m/z calcd for $[C_{14}H_{15}N_2O_3]^+$ $[M+H]^+$: 295.1077, found 295.1070.

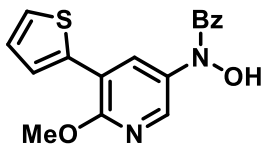
16. *N*-hydroxy-*N*-(6-methoxy-5-phenylpyridin-3-yl)benzamide (1ai)



1ai

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the yellow solid **1ai** (0.816 g, 51% yield), m.p. = 102-105 ℃; R_f = 0.3 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 10.87 (s, 1H), 8.36 (s, 1H), 7.94 (s, 1H), 7.71 (d, *J* = 7.0 Hz, 2H), 7.55 (d, *J* = 7.4 Hz, 2H), 7.46 (t, *J* = 6.7 Hz, 5H), 7.39 (t, *J* = 7.2 Hz, 1H), 3.90 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.1, 165.9, 161.4, 141.8, 135.0, 133.4, 132.7, 131.9, 130.5, 128.6, 128.5, 128.0, 127.6, 110.4, 53.5; HRMS (ESI) m/z calcd for $[C_{19}H_{17}N_2O_3]^+$ $[M+H]^+$: 321.1234, found 321.1277.

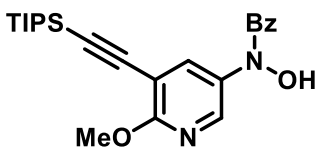
17. *N*-hydroxy-*N*-(6-methoxy-5-(thiophen-2-yl)pyridin-3-yl)benzamide (1ak)



1ak

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1ak** (0.864 g, 53% yield), m.p. = 117-119 ℃; R_f = 0.3 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 10.12 (s, 1H), 8.35 (s, 2H), 7.94 (s, 3H), 7.83 (dd, *J* = 6.1, 4.0 Hz, 2H), 7.74 (t, *J* = 7.4 Hz, 2H), 7.54-7.53 (m, 1H), 4.48 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 166.8, 158.2, 142.3, 136.1, 133.2, 132.0, 131.3, 131.1, 128.7, 128.3, 127.3, 126.9, 126.7, 118.3, 54.1; HRMS (ESI) m/z calcd for $[C_{17}H_{15}N_2O_3S]^+$ $[M+H]^+$: 327.0798, found 327.0796.

18. (*N*-hydroxy-*N*-(6-methoxy-5-((triisopropylsilyl)ethynyl)pyridin-3-yl)benzamide (1al)

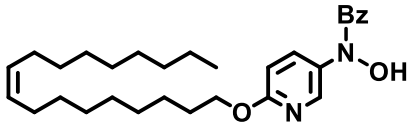


1al

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the yellow solid **1z** (1.462 g, 69% yield), m.p. = 118-120 ℃; R_f = 0.5 (PE:EA = 1:1); ^{1}H NMR (500 MHz,

$CDCl_3$): δ 9.50 (s, 1H), 7.81 (s, 1H), 7.72 (d, *J* = 2.7 Hz, 1H), 7.42 (d, *J* = 7.7 Hz, 2H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 2H), 3.92 (s, 3H), 1.12 (s, 21H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 166.5, 163.1, 143.8, 139.2, 131.7, 131.2, 130.4, 128.8, 128.4, 108.3, 99.8, 98.8, 54.4, 18.6, 11.2; HRMS (ESI) m/z calcd for $[C_{24}H_{33}N_2O_3Si]^+$ $[M+H]^+$: 425.2255, found 425.2255.

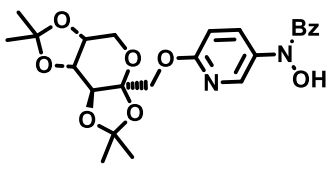
19. *(Z)*-*N*-hydroxy-*N*-(6-(octadec-9-en-1-yloxy)pyridin-3-yl)benzamide (1an)



1an

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the white solid **1an** (1.440 g, 60% yield), m. p. = 69-70 ℃; R_f = 0.3 (PE:EA = 3:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.74 (s, 1H), 8.27 (s, 1H), 7.84 (d, *J* = 8.8 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 2H), 7.43 (d, *J* = 24.3 Hz, 3H), 6.80 (d, *J* = 8.8 Hz, 1H), 5.31 (s, 2H), 4.21 (s, 2H), 1.98 (s, 4H), 1.73-1.63 (m, 2H), 1.31-1.20 (m, 22H), 0.84 (d, *J* = 6.3 Hz, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 168.4, 161.5, 135.4, 133.5, 130.8, 130.0, 128.9, 128.3, 110.7, 66.2, 32.4, 31.8, 29.6, 29.5, 29.4, 29.3, 29.2, 29.11, 29.08, 28.96, 27.06, 26.0, 22.6, 14. 3; HRMS (ESI) m/z calcd for $[C_{30}H_{45}N_2O_3]^+$ $[M+H]^+$: 481.3425, found 481.3426.

20. *N*-hydroxy-*N*-(6-(((3a*S*,5a*R*,8a*R*,8b*S*)-2,2,7,7-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-3a-yl)methoxy)pyridin-3-yl)benzamide (1ao)



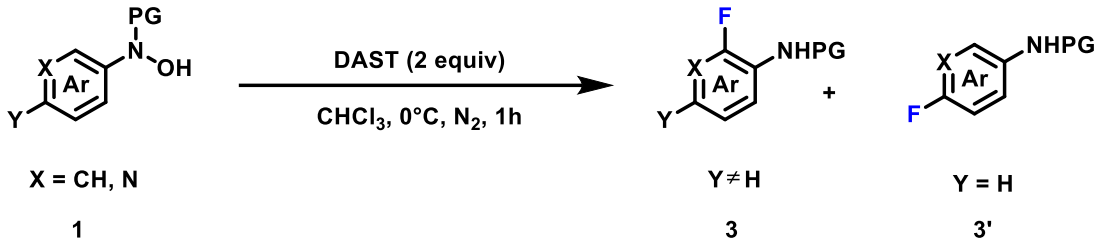
1ao

Followed **General Procedure B** on 5.0 mmol scale. Purified via column chromatography on silica to obtain the pink solid **1ao** (1.605 g, 68% yield), m. p. = 136-139 ℃; R_f = 0.2 (PE:EA = 2;1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.16 (s, 1H), 7.98-7.97 (m, 1H), 7.50 (d, *J* = 6.3 Hz, 1H), 7.41 (d, *J* = 7.7 Hz, 2H), 7.37 (d, *J* = 7.3 Hz, 1H), 7.29 (t, *J* = 7.5 Hz, 2H), 6.73 (d, *J* = 8.6 Hz, 1H), 4.63-4.61 (m, 2H), 4.44 (d, *J* = 2.6 Hz, 1H), 4.26-4.23 (m, 2H), 3.93 (d, *J* = 13.0 Hz, 1H), 3.78 (d, *J* = 13.0 Hz, 1H), 1.53 (s, 3H), 1.47 (s, 3H), 1.39 (s, 3H), 1.33 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.9,

160.4, 134.9, 133.7, 132.7, 131.9, 130.5, 128.5, 128.0, 127.6, 110.6, 108.2, 101.6, 70.2, 70.0, 69.5, 66.5, 60.5, 26.4, 25.8, 25.2, 24.1; HRMS (ESI) m/z calcd for $[C_{24}H_{29}N_2O_8]^+$ $[M+H]^+$: 473.1978, found 473.1911.

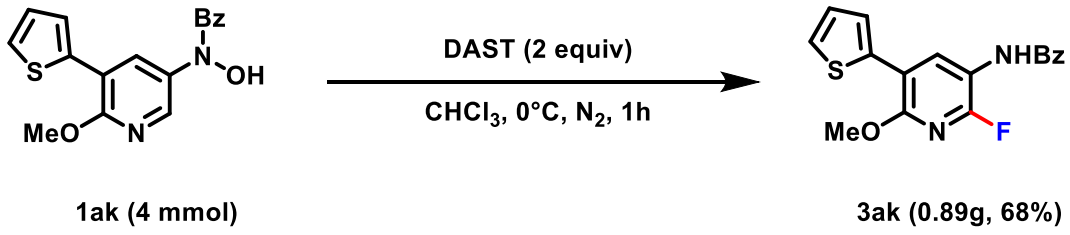
General procedure for the synthesis of Fluorinated Anilides

General procedure C:



Under nitrogen atmosphere, **1** (0.2 mmol, 1.00 eq.) in $CHCl_3$ (2 mL) was cooled to 0 °C in an ice bath. Diethyl amino sulfur trifluoride (0.052 mL, 0.4 mmol, 2.0 eq.) was then added dropwise at 0 °C, the solution was stirred at 0 °C for 1 h. Afterwards, the reaction mixture was diluted by adding DCM and sodium bicarbonate solution. The mixture was extracted with DCM, and 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 afford the desired product **3** or **3'.**

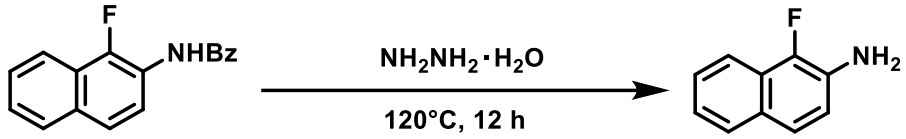
Experimental procedure for gram scale reaction



Under nitrogen atmosphere, **1ak** (1.304 g, 4 mmol, 1.00 eq.) was dissolved in $CHCl_3$ (20 mL) was cooled to 0 °C in an ice bath. Diethyl amino sulfur trifluoride (1.05 mL, 8 mmol, 2.0 eq.) was then added dropwise at 0 °C, the solution was stirred

at 0 °C for 1 h. Afterwards, the reaction was diluted by adding DCM and sodium bicarbonate solution. The mixture was extracted with DCM, and 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 afford **3ak** (0.890 g, 68% yield).

Procedure for removing amino protecting group[8]

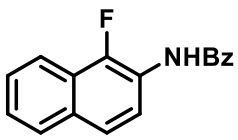


3a **4a**

N-(1-fluoronaphthalen-2-yl)benzamide **3a** (53 mg, 0.2 mmol) was dissolved in $N_2H_4.H_2O$ (2.0 mL) in a sealedtube. The tube was heated at 120 °C in the oil bath and Vigorous stirred for 12 h. After the reaction mixture was cooled to 30 °C and neutralized to pH = 7 by concentrated HCl (2 M). Then the mixture was diluted with EtOAc, the organic layer was washed with brine and dried over sodium sulfate. Finally, the residue was purified by silica gel column chromatography (PE/DCM = 2/1) to obtain the Red-brown solid **4a** (27 mg, 84% yield).

Analytical data of Fluorinated products

1. *N*-(1-fluoronaphthalen-2-yl)benzamide (3a)

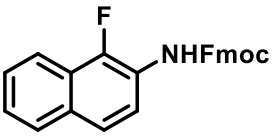


3a

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3a**: 36 mg, 68% yield; white solid, m.p. = 153-155 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.23 (t, *J* = 9.0 Hz, 1H), 8.24 (s, 1H), 8.04 (d, *J* = 8.3 Hz, 1H), 7.96-7.94 (m, 2H), 7.83 (d, *J* = 8.6 Hz, 1H), 7.67 (d, *J* = 9.0 Hz, 1H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.53 (q, *J* = 6.7 Hz,

3H), 7.48 (t, J = 7.6 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.6, 150.5 (d, $J_{C\text{-}F}$ = 252.0 Hz), 134.0, 132.0 (d, $J_{C\text{-}F}$ = 4.4 Hz), 131.9, 128.5, 127.9, 127.6 (d, $J_{C\text{-}F}$ = 2.6 Hz), 127.0, 126.5, 125.2 (d, J = 1.8 Hz), 123.5 (d, $J_{C\text{-}F}$ = 4.4 Hz), 123.2 (d, $J_{C\text{-}F}$ = 15.1 Hz), 120.9 (d, $J_{C\text{-}F}$ = 11.2 Hz), 119.7 (d, $J_{C\text{-}F}$ = 5.2 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -144.08 (s); HRMS (ESI) m/z calcd for $[C_{17}H_{13}FNO]^+$ $[M+H]^+$: 266.0976, found 266.0980.

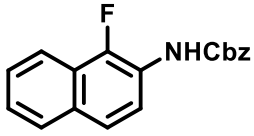
2. (9*H*-fluoren-9-yl)methyl (1-fluoronaphthalen-2-yl)carbamate (3b)



3b

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3b**: 34 mg, 45% yield; white solid, m.p. = 189-191 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR(500 MHz, DMSO-d_6) δ 9.75 (s, 1H), 8.01 (d, J = 8.3 Hz, 1H), 7.94 (d, J = 8.3 Hz, 1H), 7.90 (d, J = 7.6 Hz, 2H), 7.75 (d, J = 34.2 Hz, 3H), 7.60 (t, J = 7.6 Hz, 1H), 7.54 (t, J = 7.6 Hz, 1H), 7.42 (t, J = 7.5 Hz, 2H), 7.34 (t, J = 7.6 Hz, 2H), 4.49 (d, J = 7.0 Hz, 2H), 4.33 (t, J = 6.9 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 154.1, 148.9 (d, $J_{C\text{-}F}$ = 250.0 Hz), 143.7, 140.8, 131.1 (d, $J_{C\text{-}F}$ = 4.1 Hz), 127.7, 127.6 (d, $J_{C\text{-}F}$ = 2.6 Hz), 127.1, 127.0, 126.1, 125.3, 123.5 (d, $J_{C\text{-}F}$ = 4.4 Hz), 123.4, 123.0 (d, $J_{C\text{-}F}$ = 14.8 Hz), 121.2 (d, $J_{C\text{-}F}$ = 10.6 Hz), 120.1, 119.4 (d, $J_{C\text{-}F}$ = 5.2 Hz), 66.2, 46.6; ^{19}F NMR (471 MHz, $CDCl_3$): δ -146.01 (s); HRMS (ESI) m/z calcd for $[C_{25}H_{19}FNO_2]^+$ $[M+H]^+$: 384.1394, found 384.1397.

3. benzyl (1-fluoronaphthalen-2-yl)carbamate (3c)

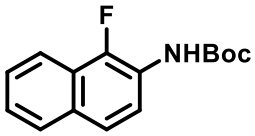


3c

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3c**: 30 mg, 51% yield; white solid, m.p. = 114 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.29 (s, 1H), 8.00 (d, J = 8.4 Hz, 1H), 7.81 (d, J = 8.2 Hz, 1H), 7.63 (d, J = 9.0 Hz, 1H), 7.52 (t, J = 7.7 Hz, 1H), 7.46 (d, J = 3.8 Hz, 1H), 7.45 (d, J = 2.6 Hz, 2H), 7.42 (t, J = 7.2 Hz, 2H), 7.37 (t, J = 7.1 Hz, 1H), 7.10 (s, 1H), 5.27 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 153.2, 146.7 (d, $J_{C\text{-}F}$ = 246.4 Hz), 135.8, 130.6 (d, $J_{C\text{-}F}$ = 4.6 Hz), 128.6, 128.5, 128.4, 127.5 (d, $J_{C\text{-}F}$ = 2.8 Hz), 126.6 (d, $J_{C\text{-}F}$ = 2.0 Hz), 125.4, 123.8 (d, $J_{C\text{-}F}$ = 4.5 Hz), 123.1 (d, $J_{C\text{-}F}$ = 14.6

Hz), 121.6 (d, $J_{C\text{-}F}$ = 9.3 Hz), 119.5 (d, $J_{C\text{-}F}$ = 5.3 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -146.33 (s); HRMS (ESI) m/z calcd for $[C_{18}H_{15}FNO_2]^+$ $[M+H]^+$: 296.1081, found 296.1086.

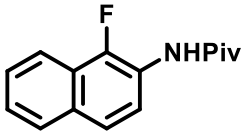
4. *tert*-butyl (1-fluoronaphthalen-2-yl)carbamate (3d)



3d

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3d**: 22 mg, 42% yield; pink solid, m.p. = 82-84 °C; R_f = 0.3 (PE:EA = 20:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (s, 1H), 7.99 (d, *J* = 7.2 Hz, 1H), 7.79 (d, *J* = 9.3 Hz, 1H), 7.61 (d, *J* = 9.1 Hz, 1H), 7.52-7.49 (m, 1H), 7.42 (ddd, *J* = 8.1, 6.8, 1.2 Hz, 1H), 6.88 (s, 1H), 1.57 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 152.6, 145.5 (d, $J_{C\text{-}F}$ = 245.7 Hz), 130.3 (d, $J_{C\text{-}F}$ = 4.5 Hz), 127.4 (d, $J_{C\text{-}F}$ = 2.9 Hz), 126.5 (d, $J_{C\text{-}F}$ = 1.9 Hz), 125.2, 123.7 (d, $J_{C\text{-}F}$ = 4.5 Hz), 123.2, 123.1, 122.2 (d, $J_{C\text{-}F}$ = 9.2 Hz), 119.4 (d, $J_{C\text{-}F}$ = 5.5 Hz), 81.1, 28.3; ^{19}F NMR (471 MHz, $CDCl_3$): δ -146.89 (s); HRMS (ESI) m/z calcd for $[C_{15}H_{17}FNO_2]^+$ $[M+H]^+$: 262.1238, found 262.1224.

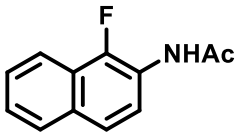
5. *N*-(1-fluoronaphthalen-2-yl)pivalamide (3e)



3e

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3e**: 29 mg, 59% yield; pink solid, m.p. = 91-93 °C; R_f = 0.3 (PE:EA = 20:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.42 (dd, *J* = 9.0, 7.5 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.80 (d, *J* = 7.5 Hz, 2H), 7.61 (d, *J* = 9.0 Hz, 1H), 7.51 (t, *J* = 7.0 Hz, 1H), 7.44 (td, *J* = 7.5, 6.8, 1.2 Hz, 1H), 1.38 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 176.8, 147.3 (d, $J_{C\text{-}F}$ = 246.2 Hz), 130.9 (d, $J_{C\text{-}F}$ = 4.6 Hz), 127.5 (d, $J_{C\text{-}F}$ = 2.9 Hz), 125.6, 128.5, 123.6 (d, $J_{C\text{-}F}$ = 4.5 Hz), 123.0 (d, $J_{C\text{-}F}$ = 14.9 Hz), 122.0 (d, $J_{C\text{-}F}$ = 9.1 Hz), 120.6, 119.6 (d, $J_{C\text{-}F}$ = 5.4 Hz), 40.0, 27.6; ^{19}F NMR (471 MHz, $CDCl_3$): δ -145.33 (s); HRMS (ESI) m/z calcd for $[C_{15}H_{17}FNO]^+$ $[M+H]^+$: 246.1289, found 246.1297.

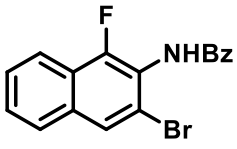
6. *N*-(1-fluoronaphthalen-2-yl)acetamide (3f)



3f

Followed **General Procedure C** on 0.2 mmol scale, The reaction requires continuous stirring for 12 hours. Purified via column chromatography on silica to obtain **3f**: 15 mg, 37% yield; white solid,; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.30 (t, *J* = 8.3 Hz, 1H), 7.98 (d, *J* = 8.3 Hz, 1H), 7.77 (d, *J* = 8.6 Hz, 2H), 7.57 (d, *J* = 9.0 Hz, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 2.25 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 168.7, 147.3 (d, J_{C-F} = 247.5 Hz), 131.1 (d, J_{C-F} = 4.6 Hz), 127.4 (d, J_{C-F} = 2.9 Hz), 126.5, 125.6, 123.6 (d, J_{C-F} = 4.5 Hz), 123.0 (d, J_{C-F} = 14.9 Hz), 121.5 (d, J_{C-F} = 9.6 Hz), 120.8, 119.6 (d, J_{C-F} = 5.4 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -143.69 (s); Analytical data are in accordance with the literature values[6].

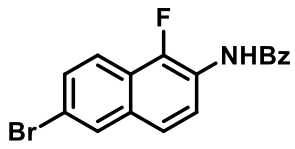
7. *N*-(3-bromo-1-fluoronaphthalen-2-yl)benzamide (3g)



3g

Followed **General Procedure C** on 0.2 mmol scale. The reaction requires continuous stirring for 2 hours. Purified via column chromatography on silica to obtain **3g**: 45 mg, 66% yield; White Solid , m.p. = 184-192 °C; ^{1}H NMR (500 MHz, DMSO-*d*$_6$): δ 10.38 (s, 1H), 8.30 (s, 1H), 8.08 (d, *J* = 8.6 Hz, 3H), 8.04 (d, *J* = 7.6 Hz, 1H), 7.72-7.67 (m, 2H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 2H); ^{13}C NMR (126 MHz, DMSO): δ 165.7, 154.0 (d, J_{C-F} = 257.0 Hz), 133.5, 133.3 (d, J_{C-F} = 6.3 Hz), 132.2, 128.7, 128.4, 127.9, 127.7, 127.1, 126.9 (d, J_{C-F} = 5.0 Hz), 122.3 (d, J_{C-F} = 33.8 Hz), 121.1, 120.27 (d, J_{C-F} = 31.2 Hz), 120.26 (d, J_{C-F} = 7.8 Hz); ^{19}F NMR (471 MHz, DMSO): δ -124.59 (s); HRMS (ESI) m/z calcd for $[C_{17}H_{12}BrFNO]^+$ $[M+H]^+$: 344.0081, found 344.0067.

8. *N*-(6-bromo-1-fluoronaphthalen-2-yl)benzamide (3h)

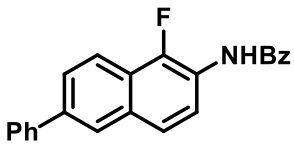


3h

Followed **General Procedure C** on 0.2 mmol scale. The reaction requires continuous stirring for 2 hours. Purified via column chromatography on silica to obtain **3h**: 39mg, 57% yield; White solid, m.p. = 199-203 °C; R_f = 0.5 (PE:EA =

3:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.59 (t, J = 8.2 Hz, 1H), 8.20 (s, 1H), 8.00 (s, 1H), 7.94 (d, *J* = 7.4 Hz, 2H), 7.91 (d, *J* = 9.0 Hz, 1H), 7.62-7.58 (m, 3H), 7.54 (t, *J* = 7.4 Hz, 2H); ^{13}C NMR (126 MHz, DMSO-*d*$_6$): δ 165.5, 150.4 (d, $J_{C\text{-}F}$ = 253.2 Hz), 133.9, 133.1 (d, $J_{C\text{-}F}$ = 3.8 Hz), 132.0, 130.0, 129.6, 128.6, 127.9, 126.6, 122.9 (d, $J_{C\text{-}F}$ = 5.0 Hz), 122.2 (d, $J_{C\text{-}F}$ = 5.0 Hz), 121.9 (d, $J_{C\text{-}F}$ = 16.4 Hz), 121.7 (d, $J_{C\text{-}F}$ = 11.3 Hz), 119.9; ^{19}F NMR (471 MHz, $CDCl_3$): δ -143.74 (s); HRMS (ESI) m/z calcd for $[C_{17}H_{12}BrFNO]^+$ $[M+H]^+$: 344.0081, 344.0076.

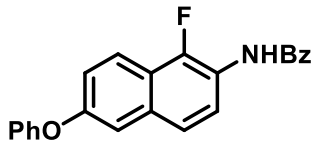
9. *N*-(1-fluoro-6-phenylnaphthalen-2-yl)benzamide (3i)



3i

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3i**: 43 mg, 63% yield; White Solid, m.p. = 204-207 ℃; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): 8.58 (t, *J* = 16.5 Hz, 1H), 8.24 (s, 1H), 8.12 (d, *J* = 8.7 Hz, 1H), 8.03 (s, 1H), 7.97 (d, *J* = 7.3 Hz, 2H), 7.81 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.74 (d, *J* = 9.2 Hz, 2H), 7.71 (s, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.50 (t, *J* = 7.6 Hz, 2H), 7.40 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 147.6 (d, $J_{C\text{-}F}$ = 247.0 Hz), 140.6, 138.6, 134.6, 132.2, 131.5 (d, $J_{C\text{-}F}$ = 3.8 Hz), 128.9 (d, $J_{C\text{-}F}$ = 3.8 Hz), 127.6, 127.3, 127.2, 126.4 (d, $J_{C\text{-}F}$ = 1.3 Hz), 125.4 (d, $J_{C\text{-}F}$ = 2.5 Hz), 124.2(d, $J_{C\text{-}F}$ = 5.0 Hz), 122.2, 122.1, 122.0, 121.0, 120.4 (d, $J_{C\text{-}F}$ = 5.0 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -144.46 (s); HRMS (ESI) m/z calcd for $[C_{23}H_{17}FNO]^+$ $[M+H]^+$: 342.1289, found 342.1303.

10. *N*-(1-fluoro-6-phenoxynaphthalen-2-yl)benzamide (3j)

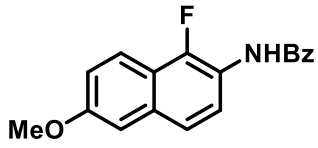


3j

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3j**: 52 mg, 73% yield; White solid, m.p. = 138-145 °C; R_f = 0.7 (PE:EA = 3:1); ^{1}H NMR: (500 MHz, $CDCl_3$): δ 8.47 (t, *J* = 8.2 Hz, 1H), 8.19 (s, 1H), 8.03 (d, *J* = 9.1 Hz, 1H), 7.95 (d, *J* = 7.2 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.52 (dd, *J* = 13.7, 8.2 Hz, 3H), 7.44-7.37 (m, 2H), 7.36-7.31 (m, 1H), 7.28 (s, 1H), 7.18 (t, *J* = 7.4 Hz, 1H), 7.10 (d, *J* = 7.7 Hz,

2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.6, 156.7, 155.5, 148.0 (d, J_{C-F} = 247.6 Hz), 134.5, 132.4 (d, J_{C-F} = 4.5 Hz), 132.1, 130.0, 128.9, 127.2, 123.8, 122.9 (d, J_{C-F} = 4.5 Hz), 122.0 (d, J_{C-F} = 5.3 Hz), 121.7, 120.8 (d, J_{C-F} = 9.3 Hz), 120.7, 119.6 (d, J_{C-F} = 15.2 Hz), 119.4, 113.4 (d, J_{C-F} = 2.6 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -143.49 (s); HRMS (ESI) m/z calcd for $[C_{23}H_{17}FNO_2]^+$ $[M+H]^+$: 358.1238, found 358.1231.

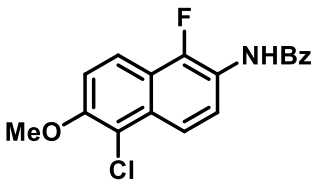
11. *N*-(1-fluoro-6-methoxynaphthalen-2-yl)benzamide (3k)



3k

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3k**: 34 mg, 58% yield; White solid, m.p. = 162-164 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.45 (t, J = 8.3 Hz, 1H), 8.13 (s, 1H), 7.95-7.93 (m, 3H), 7.60-7.55 (m, 2H), 7.53 (t, J = 7.5 Hz, 2H), 7.20 (dd, J = 9.2, 2.4 Hz, 1H), 7.13 (t, J = 2.2 Hz, 1H), 3.93 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 157.8, 148.2 (d, J_{C-F} = 248.2 Hz), 134.6, 132.7 (d, J_{C-F} = 5.0 Hz), 132.1, 128.9, 127.2, 122.5 (d, J_{C-F} = 5.0 Hz), 121.5, 121.4, 119.9 (d, J_{C-F} = 1.3 Hz), 119.7 (d, J_{C-F} = 1.3 Hz), 118.3 (d, J_{C-F} = 31.2 Hz), 105.5 (d, J_{C-F} = 2.5 Hz), 55.3; ^{19}F NMR (471 MHz, $CDCl_3$): δ -145.48 (s); HRMS (ESI) m/z calcd for $[C_{18}H_{15}FNO_2]^+$ $[M+H]^+$: 296.1081, found 296.1083.

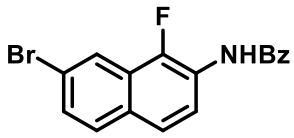
12. *N*-(5-chloro-1-fluoro-6-methoxynaphthalen-2-yl)benzamide (3l)



3l

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3l**: 43 mg, 65% yield; White solid, m.p. = 159-168 °C; R_f = 0.4 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.55 (dd, J = 9.3, 7.6 Hz, 1H), 8.14 (s, 1H), 8.04-7.92 (m, 4H), 7.59 (t, J = 7.4 Hz, 1H), 7.53 (t, J = 7.5 Hz, 2H), 7.34 (d, J = 9.2 Hz, 1H), 4.04 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.6, 153.1, 150.7 (d, J_{C-F} = 254.5 Hz), 133.9, 132.0, 130.2 (d, J_{C-F} = 3.8Hz), 128.6, 127.9, 127.7, 127.5 (d, J_{C-F} = 2.5 Hz), 120.6 (d, J_{C-F} = 6.3 Hz), 119.6 (d, J_{C-F} = 10.1 Hz), 119.2 (d, J_{C-F} = 16.4 Hz), 118.5 (d, J_{C-F} = 3.8 Hz), 115.2, 56.9; ^{19}F NMR (471 MHz, DMSO): δ -131.68 (s); HRMS (ESI) m/z calcd for $[C_{18}H_{14}ClNO_3]^+$ $[M+H]^+$: 330.0692, found 330.0695.

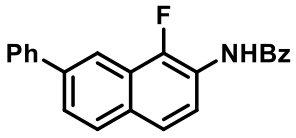
13. benzyl (1-fluoronaphthalen-2-yl)carbamate (3m)



3m

Followed **General Procedure C** on 0.2 mmol scale. The reaction requires continuous stirring for 2 hours. Purified via column chromatography on silica to obtain **3m**: white solid, 37 mg, 53% yield; m.p. = 175-180 °C; R_f = 0.5 (PE:EA = 3:1); ^{1}H NMR (500 MHz, DMSO-*d*$_6$): δ 10.43 (s, 1H), 8.23 (s, 1H), 8.05 (d, *J* = 7.0 Hz, 2H), 7.97 (d, *J* = 10.3 Hz, 1H), 7.87-7.75 (m, 2H), 7.70 (d, *J* = 8.7 Hz, 1H), 7.63 (t, *J* = 7.3 Hz, 1H), 7.56 (t, *J* = 7.5 Hz, 2H); ^{13}C NMR (126 MHz, DMSO-*d*$_6$): δ 165.6, 149.3 (d, $J_{C\text{-}F}$ = 15.1 Hz), 133.9, 132.05, 130.4 (d, $J_{C\text{-}F}$ = 3.8 Hz), 130.10 (d, $J_{C\text{-}F}$ = 2.5 Hz), 129.6, 128.6, 128.0, 125.8, 124.3 (d, $J_{C\text{-}F}$ = 15.1 Hz), 123.7 (d, $J_{C\text{-}F}$ = 5.0 Hz), 122.3 (d, $J_{C\text{-}F}$ = 10.1 Hz), 121.8 (d, $J_{C\text{-}F}$ = 5.0 Hz), 120.55; ^{19}F NMR (471 MHz, DMSO): δ -144.42 (s); HRMS (ESI) m/z calcd for $[C_{17}H_{12}BrFNO]^+$ $[M+H]^+$: 344.0081, found 344.0071.

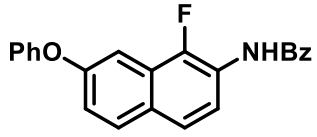
14. *N*-(1-fluoro-7-phenylnaphthalen-2-yl)benzamide (3n)



3n

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3n**: 47 mg, 68% yield; White Solid, m.p. = 165-170 °C; R_f = 0.4 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.54 (t, *J* = 8.1 Hz, 1H), 8.24 (d, *J* = 15.0 Hz, 2H), 7.96 (d, *J* = 7.5 Hz, 2H), 7.90 (d, *J* = 8.5 Hz, 1H), 7.74 (d, *J* = 7.2 Hz, 3H), 7.69 (d, *J* = 8.9 Hz, 1H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.52 (dt, *J* = 14.8, 7.6 Hz, 4H), 7.41 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 147.8 (d, $J_{C\text{-}F}$ = 248.2 Hz), 140.7, 139.5 (d, $J_{C\text{-}F}$ = 1.3 Hz), 134.5, 132.2, 123.3 (d, $J_{C\text{-}F}$ = 5.0 Hz), 128.9 (d, $J_{C\text{-}F}$ = 2.5 Hz), 128.1 (d, $J_{C\text{-}F}$ = 2.5 Hz), 127.7, 127.5, 127.2, 125.6, 123.6 (d, $J_{C\text{-}F}$ = 3.8 Hz), 122.4, 123.3, 122.4 (d, $J_{C\text{-}F}$ = 8.8 Hz), 120.5, 117.5 (d, $J_{C\text{-}F}$ = 5.0 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -144.58 (s); HRMS (ESI) m/z calcd for $[C_{23}H_{17}FNO]^+$ $[M+H]^+$: 342.1289, found 342.1301.

15. *N*-(1-fluoro-7-phenoxynaphthalen-2-yl)benzamide (3o)

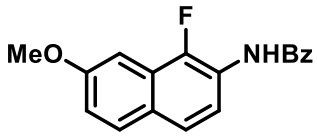


3o

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3o**:

44 mg, 63% yield; White solid, m.p. = 123-126 °C; Rf = 0.4 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.34 (t, *J* = 8.1 Hz, 1H), 8.12 (s, 1H), 7.82 (d, *J* = 7.3 Hz, 2H), 7.70 (d, *J* = 9.7 Hz, 1H), 7.52 (d, *J* = 8.9 Hz, 1H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.36 (d, *J* = 2.1 Hz, 1H), 7.29 (t, *J* = 7.9 Hz, 2H), 7.15-7.10 (m, 1H), 7.07 (t, *J* = 7.4 Hz, 1H), 6.99 (d, *J* = 7.8 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.5, 156.6, 156.0, 147.0 (d, $J_{C\text{-}F}$ = 247.0 Hz), 134.4, 132.1, 129.9, 129.7 (d, $J_{C\text{-}F}$ = 2.5 Hz), 128.8, 127.8 (d, $J_{C\text{-}F}$ = 5.0 Hz), 127.1, 123.9 (d, $J_{C\text{-}F}$ = 14.6 Hz), 123.8, 123.6 (d, $J_{C\text{-}F}$ = 3.8 Hz), 122.6, (d, $J_{C\text{-}F}$ = 10.1 Hz), 119.7, 119.3, 119.1, 106.0 (d, $J_{C\text{-}F}$ = 5.0 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -144.23 (s); HRMS (ESI) m/z calcd for $[C_{23}H_{17}FNO_2]^+$ $[M+H]^+$: 358.1238, found 358.1223.

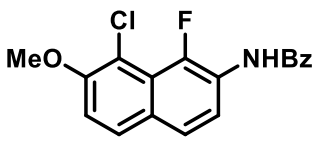
16. *N*-(1-fluoro-7-methoxynaphthalen-2-yl)benzamide (3p)



3p

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3p**: 39 mg, 65% yield; White solid, m.p. = 157-163 °C; R_f = 0.5 (PE:EA = 3:1); ^{1}H NMR (500 MHz, DMSO-*d*$_6$): δ 9.79 (s, 1H), 8.11-8.00 (m, 2H), 7.93 (d, *J* = 8.7 Hz, 2H), 7.49-7.31 (m, 4H), 7.17-7.09 (m, 2H), 7.00 (td, *J* = 7.4, 1.0 Hz, 1H), 1.02 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.5, 158.3, 147.1 (d, $J_{C\text{-}F}$ = 244.8 Hz), 134.6, 132.1, 129.3 (d, $J_{C\text{-}F}$ = 2.8 Hz), 128.9, 127.2, 126.8 (d, $J_{C\text{-}F}$ = 5.0 Hz), 124.0 (d, $J_{C\text{-}F}$ = 14.4 Hz), 123.6 (d, $J_{C\text{-}F}$ = 4.1 Hz), 122.5 (d, $J_{C\text{-}F}$ = 9.1 Hz), 118.9, 117.9, 97.6 (d, $J_{C\text{-}F}$ = 6.2 Hz), 55.4; ^{19}F NMR (471 MHz, $CDCl_3$): δ -145.43 (s); HRMS (ESI) m/z calcd for $[C_{18}H_{15}FNO_2]^+$ $[M+H]^+$: 296.1081, found 296.1084.

17. *N*-(8-chloro-1-fluoro-6-methoxynaphthalen-2-yl)benzamide (3q)

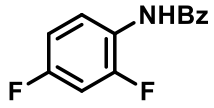


3q

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3q**: 45 mg, 69% yield; White solid, m.p. = 162-171 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.46 (dd, *J* = 9.0, 6.6 Hz, 1H), 8.25 (s, 1H), 7.87 (d, *J* = 7.0 Hz, 2H), 7.67-7.65 (m, 1H), 7.53 (t, *J* = 8.0 Hz, 2H), 7.46 (t, *J* = 7.5 Hz, 2H), 7.20-7.15 (m,

1H), 3.96 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 154.1, 146.5 (d, J_{C-F} = 252.0 Hz) , 134.5, 132.3, 128.9, 128.2 (d, J_{C-F} = 2.5 Hz), 127.8 (d, J_{C-F} = 3.8 Hz), 127.2, 125.1 (d, J_{C-F} = 11.3 Hz), 124.5 (d, J_{C-F} = 5.0 Hz), 121.8 (d, J_{C-F} = 7.6 Hz), 118.6, 113.1, 56.9; ^{19}F NMR (471 MHz, $CDCl_3$): δ -137.84 (s); HRMS (ESI) m/z calcd for $[C_{18}H_{15}ClFNO_2]^+$ $[M+H]^+$: 330.0692, found 330.0694.

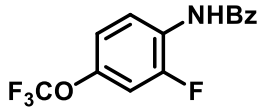
18. *N*-(2,4-difluorophenyl)benzamide (3r)



3r

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3r**: 17 mg, 37% yield; White solid, m.p. = 116-120 °C; R_f = 0.4 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.41 (td, *J* = 9.2, 6.0 Hz, 1H), 7.94 (s, 1H), 7.88 (d, *J* = 7.3 Hz, 2H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 2H), 6.96-6.90 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.4, 158.6 (dd, J_{C-F} = 246.6, 11.5 Hz), 153.0 (dd, J_{C-F} = 245.7, 12.6 Hz), 134.3, 132.2, 128.9, 127.1, 122. 9 (dd, J_{C-F} = 8.8, 2.5 Hz), 111.4 (dd, J_{C-F} = 21.7, 3.7 Hz), 103.60 (dd, J_{C-F} = 26.8, 23.2 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -118.01 (d, *J* = 4.6 Hz), -129.72 (d, *J* = 4.3 Hz); HRMS (ESI) m/z calcd for $[C_{13}H_{10}F_2NO]^+$ $[M+H]^+$: 234.0725, found 234.0728; Analytical data are in accordance with the literature values[7].

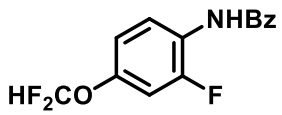
19. *N*-(2-fluoro-4-(trifluoromethoxy)phenyl)benzamide (3s)



3s

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3s**: 33 mg, 55% yield; White solid, m.p. = 135-143 °C; R_f = 0.5 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.90 (dd, *J* = 8.3, 1.4 Hz, 2H), 7.61 (dt, *J* = 14.8, 1.3 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 6.68-6.64 (m, 2H), 6.59 (d, *J* = 9.9 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 179.6, 153.5 (d, J_{C-F} = 5.9 Hz), 134.1, 133.4 (d, J_{C-F} = 27.4 Hz), 132.3, 129.7, 129.0, 128.4, 120.3 (d, J_{C-F} = 262.7 Hz), 104.3 (d, J_{C-F} = 13.2 Hz), 102.5 (d, J_{C-F} = 12.0 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -61.28 (s), -120.76 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{10}F_4NO_2]^+$ $[M+H]^+$: 300.0642, found 300.0646.

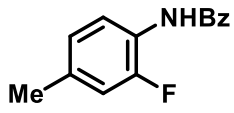
20. *N*-(4-(difluoromethoxy)-2-fluorophenyl)benzamide (3t)



3t

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3t**: 37 mg, 65% yield; Colorless oil; R_f = 0.5 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.99-7.84 (m, 2H), 7.67-7.57 (m, 1H), 7.48 (t, J = 7.8 Hz, 2H), 6.80-6.32 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 179.7, 153.7 (d, J_{C-F} = 6.0 Hz), 134.3(d, J_{C-F} = 28.0 Hz), 134.1, 132.3, 129.6, 128.9, 128.1, 113.9 (td, J_{C-F} =259.0, 3.6 Hz), 103.5 (d, J_{C-F} = 3.0 Hz), 101.8 (d, J_{C-F} = 3.2 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -84.13 (d, J = 4.3 Hz), -102.95 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{11}F_4NO_2]^+$ $[M+H]^+$: 282.0736, found 282.0738.

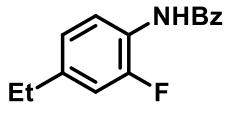
21. *N*-(2-fluoro-4-methylphenyl)benzamide (3u)



3u

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3u**: 25 mg, 55% yield; White solid, ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.28 (t, J = 8.3 Hz, 1H), 8.02 (s, 1H), 7.88 (d, J = 7.1 Hz, 2H), 7.55 (t, J = 7.3 Hz, 1H), 7.49 (t, J = 7.6 Hz, 2H), 6.96 (dd, J = 19.0, 10.1 Hz, 2H), 2.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.3, 152.7 (d, J_{C-F} = 243.2 Hz), 135.0 (d, J_{C-F} = 7.6 Hz), 134.6, 131.9, 128.8, 127.0, 125.0 (d, J_{C-F} = 2.5 Hz), 123.7 (d, J_{C-F} = 10.1 Hz), 121.8, 115.3 (d, J_{C-F} = 18.9 Hz), 20.85 (d, J_{C-F} = 1.3 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -134.93 (s); Analytical data are in accordance with the literature values[8].

22. *N*-(4-ethyl-2-fluorophenyl)benzamide (3v)

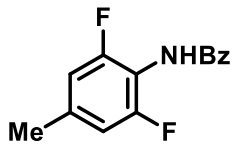


3v

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3v**: 23 mg, 47% yield; White solid, m.p. = 142-144 ℃; R_f = 0.3 (PE:EA = 20:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.32 (t, J = 8.3 Hz, 1H), 8.00 (s, 1H), 7.90-7.88 (m, 2H), 7.58-7.55 (m, 1H), 7.50 (dd, J = 8.2, 6.7 Hz, 2H), 7.02-6.96 (m, 2H), 2.64 (q, J = 7.6 Hz, 2H), 1.24 (t, J = 7.6 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 155.4, 152.8 (d, J_{C-F} = 242.7 Hz), 141.5 (d, J_{C-F} = 6.8 Hz), 134.6, 132.0, 128.8, 127.0, 123.9 (d, J_{C-F} = 3.2 Hz), 123.8, 121.8, 114.1 (d, J_{C-F} = 18.7 Hz), 28.3 (d, J_{C-F} =

1.6 Hz), 15.3; ^{19}F NMR (471 MHz, $CDCl_3$): δ -134.84 (s); HRMS (ESI) m/z calcd for $[C_{15}H_{15}FNO]^+$ $[M+H]^+$: 244.1133, found 244.1126.

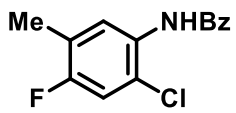
23. *N*-(2,6-difluoro-4-methylphenyl)benzamide (3w)



3w

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3w**: 21 mg, 43% yield; yellow liquid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.89 (dd, J = 8.1, 1.4 Hz, 2H), 7.58 (t, J = 7.5 Hz, 1H), 7.46 (t, J = 7.8 Hz, 2H), 6.56-6.52 (m, 1H), 6.30-6.21 (m, 2H), 1.65 (d, J = 21.8 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 179.3 (d, $J_{C\text{-}F}$ = 2.0 Hz), 152.6 (dd, $J_{C\text{-}F}$ = 266.4, 12.4 Hz), 141.9 (dd, $J_{C\text{-}F}$ = 20.4, 2.1 Hz), 133.6, 132.1, 129.3, 128.6, 119.1 (dd, $J_{C\text{-}F}$ = 22.7, 14.6 Hz), 89.00 (dd, $J_{C\text{-}F}$ = 163.3, 11.1 Hz), 26.32 (dd, $J_{C\text{-}F}$ = 28.1, 2.1 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -139.27 (d, J = 4.5 Hz); HRMS (ESI) m/z calcd for $[C_{14}H_{12}F_2NO]^+$ $[M+H]^+$: 248.0882, found 248.0816.

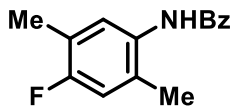
24. *N*-(2-chloro-4-fluoro-5-methylphenyl)benzamide (3x')



3x'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3x'**: 44 mg, 84% yield; white solid, m.p. = 151 °C; R_f = 0.5 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.37 (d, J = 7.7 Hz, 1H), 8.26 (s, 1H), 7.91–7.89 (m, 2H), 7.59–7.56 (m, 1H), 7.51 (dd, J = 8.3, 6.7 Hz, 2H), 7.09 (d, J = 8.8 Hz, 1H), 2.29 (d, J = 2.1 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.1, 156.97 (d, $J_{C\text{-}F}$ = 245.8 Hz), 134.3, 132.1, 130.5 (d, $J_{C\text{-}F}$ = 3.5 Hz), 128.9, 127.0, 124.6 (d, $J_{C\text{-}F}$ = 17.7 Hz), 124.1 (d, $J_{C\text{-}F}$ = 5.2 Hz), 120.8 (d, $J_{C\text{-}F}$ = 10.1 Hz), 115.6 (d, $J_{C\text{-}F}$ = 27.1 Hz), 14.5 (d, $J_{C\text{-}F}$ = 2.8 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -122.81 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{12}ClFNO]^+$ $[M+H]^+$: 264.0586, found 264.0594.

25. *N*-(4-fluoro-2,5-dimethylphenyl)benzamide (3y')

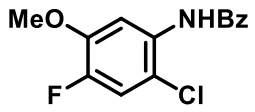


3y'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3y'**: 35 mg, 72% yield; white solid, m.p. = 149 °C; R_f = 0.4 (PE:EA = 10:1); ^{1}H NMR

(500 MHz, $CDCl_3$): δ 7.87 (d, J = 7.2 Hz, 2H), 7.63 (s, 1H), 7.60 (d, J = 7.4 Hz, 1H), 7.55 (d, J = 7.5 Hz, 1H), 7.49 (d, J = 7.6 Hz, 2H), 6.87 (d, J = 10.0 Hz, 1H), 2.25 (d, J = 5.8 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.8, 158.7 (d, $J_{C\text{-}F}$ = 243.2 Hz), 134.7, 131.1 (d, $J_{C\text{-}F}$ = 3.2 Hz), 129.9 (d, $J_{C\text{-}F}$ = 8.2 Hz), 128.8, 127.0, 122.8 (d, $J_{C\text{-}F}$ = 18.2 Hz), 116.6 (d, $J_{C\text{-}F}$ = 23.5 Hz), 17.5, 14.3 (d, $J_{C\text{-}F}$ = 3.1 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -124.45 (s); HRMS (ESI) m/z calcd for $[C_{15}H_{15}FNO]^+$ $[M+H]^+$: 244.1133, found 244.1122.

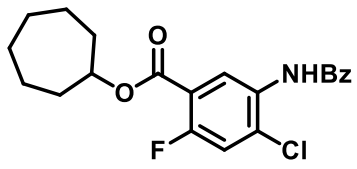
26. *N*-(2-chloro-4-fluoro-5-methoxyphenyl)benzamide (3z')



3z'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3z'**: 45 mg, 81% yield; white solid, m.p. = 138 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.34 (d, J = 8.6 Hz, 1H), 8.27 (s, 1H), 7.54–7.51 (m, 2H), 7.47–7.44 (m, 1H), 7.46 (dd, J = 8.3, 6.7 Hz, 2H), 7.09 (d, J = 10.4 Hz, 1H), 3.88 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 164.3, 147.09 (d, $J_{C\text{-}F}$ = 247.2 Hz), 145.88 (d, $J_{C\text{-}F}$ = 10.8 Hz), 133.3, 131.3, 130.27 (d, $J_{C\text{-}F}$ = 3.5 Hz), 128.0, 126.0, 115.3 (d, $J_{C\text{-}F}$ = 22.5 Hz), 112.0 (d, $J_{C\text{-}F}$ = 8.7 Hz), 105.5, 55.4; ^{19}F NMR (471 MHz, $CDCl_3$): δ -140.68 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{12}ClFNO_2]^+$ $[M+H]^+$: 280.0536, found 280.0531.

27. cycloheptyl 5-benzamido-4-chloro-2-fluorobenzoate (3aa')

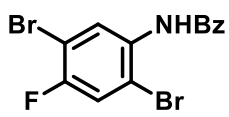


3aa'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3aa'**: 55 mg, 71% yield; white solid, m.p. = 103 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.98 (d, J = 7.3 Hz, 1H), 8.29 (s, 1H), 7.91–7.89 (m, 2H), 7.60–7.56 (m, 1H), 7.51 (dd, J = 8.3, 6.8 Hz, 2H), 7.22 (d, J = 9.5 Hz, 1H), 5.20 (tt, J = 8.3, 4.4 Hz, 1H), 2.01 (dddd, J = 13.3, 7.8, 4.5, 3.1 Hz, 2H), 1.83 (dddd, J = 14.1, 9.4, 8.1, 2.9 Hz, 2H), 1.78–1.67 (m, 2H), 1.59 (dd, J = 5.5, 3.0 Hz, 4H), 1.53–1.47 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.2, 162.5 (d, $J_{C\text{-}F}$ = 4.0 Hz), 157.4 (d, $J_{C\text{-}F}$ = 260.7 Hz), 134.0, 132.3, 131.0 (d, $J_{C\text{-}F}$ = 3.7 Hz),

128.9, 128.2 (d, J_{C-F} = 10.0 Hz), 127.1, 124.7 (d, J_{C-F} = 2.4 Hz), 119.1 (d, J_{C-F} = 10.3 Hz), 117.7 (d, J_{C-F} = 27.1 Hz), 33.6, 28.2, 22.8; ^{19}F NMR (471 MHz, $CDCl_3$): δ -116.68 (s); HRMS (ESI) m/z calcd for $[C_{21}H_{22}ClFNO_3]^+$ $[M+H]^+$: 390.1267, found 390.1273.

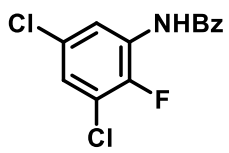
28. *N*-(2,5-dibromo-4-fluorophenyl)benzamide (3ab')



3ab'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ab'**: 54 mg, 73% yield; white solid, m.p. = 165-167 °C; R_f = 0.5 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.84 (d, *J* = 6.9 Hz, 1H), 8.32 (s, 1H), 7.91 (d, *J* = 7.5 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.37 (d, *J* = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.1, 155.0 (d, J_{C-F} = 248.9 Hz), 133.9, 133.0 (d, J_{C-F} = 3.6 Hz), 132.5, 129.0, 127.0, 125.7, 119.7 (d, J_{C-F} = 26.5 Hz), 112.2 (d, J_{C-F} = 8.1 Hz), 108.8 (d, J_{C-F} = 21.3 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -113.25 (s); HRMS (ESI) m/z calcd for $[C_{13}H_9Br_2FNO]^+$ $[M+H]^+$: 373.9009, found 373.9019.

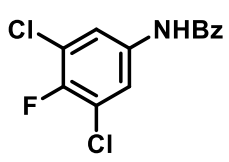
29. *N*-(3,5-dichloro-2-fluorophenyl)benzamide (3ac)



3ac

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ac**: 12 mg, 21% yield; White solid, m.p. = 147-149 °C; R_f = 0.3 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.51 (dd, *J* = 5.7, 2.0 Hz, 1H), 8.06 (s, 1H), 7.87 (dd, *J* = 7.1, 1.5 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 2H), 7.16 (dd, *J* = 6.2, 2.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.3, 147.2 (d, J_{C-F} = 243.9 Hz), 133.7, 132.6, 130.0 (d, J_{C-F} = 4.5 Hz), 129.0, 128.4 (d, J_{C-F} = 10.8 Hz), 127.1, 124.5, 121.2 (d, J_{C-F} = 17.3 Hz), 119.9; ^{19}F NMR (471 MHz, $CDCl_3$): δ -138.22 (s); HRMS (ESI) m/z calcd for $[C_{13}H_9Cl_2FNO]^+$ $[M+H]^+$: 284.0040, found 284.0031.

30. *N*-(3,5-dichloro-4-fluorophenyl)benzamide (3ac')

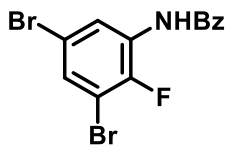


3ac'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ac'**: 25 mg, 44%

yield; White solid, m.p. = 202-204 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.51 (s, 1H), 8.01 (d, J = 6.2 Hz, 2H), 7.95-7.93 (m, 2H), 7.64-7.60 (m, 1H), 7.57-7.54 (m, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 166.0, 149.3 (d, J_{C-F} = 244.2 Hz), 136.6 (d, J_{C-F} = 4.0 Hz), 134.1, 132.2, 128.6, 127.8, 120.8 (d, J_{C-F} = 17.9 Hz), 120.4; ^{19}F NMR (471 MHz, $CDCl_3$): δ -126.46 (s); HRMS (ESI) m/z calcd for $[C_{13}H_9Cl_2FNO]^+$ $[M+H]^+$: 284.0040, found 284.0029.

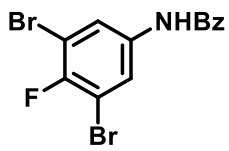
31. *N*-(3,5-dibromo-2-fluorophenyl)benzamide (3ad)



3ad

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ad**: 30 mg, 41% yield; White solid, m.p. = 138-140 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.68-8.67 (m, 1H), 8.06 (s, 1H), 7.86 (d, J = 7.6 Hz, 2H), 7.60 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.7 Hz, 2H), 7.43 (dd, J = 5.8, 2.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.3, 148.5 (d, J_{C-F} = 242.8 Hz), 133.7, 132.6, 129.9, 129.0, 128.5 (d, J_{C-F} = 11.7 Hz), 127.1, 123.4, 117.5 (d, J_{C-F} = 4.6 Hz), 109.0 (d, J_{C-F} = 20.5 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -129.37 (s); HRMS (ESI) m/z calcd for $[C_{13}H_9Br_2FNO]^+$ $[M+H]^+$: 373.9009, found 373.8995.

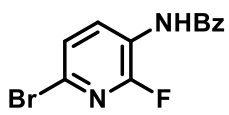
32. *N*-(3,5-dibromo-4-fluorophenyl)benzamide (3ad')



3ad'

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ad'**: 38 mg, 51% yield; White solid, m.p. = 220-222 °C; ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.45 (s, 1H), 8.16 (s, 1H), 7.94-7.93 (m, 2H), 7.60 (d, J = 6.1 Hz, 1H), 7.54 (t, J = 7.5 Hz, 2H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.9, 151.1 (d, J_{C-F} = 240.3 Hz), 137.4 (d, J_{C-F} = 3.7 Hz), 134.1, 132.1, 128.6, 127.8, 123.8, 108.6 (d, J_{C-F} = 22.7 Hz); ^{19}F NMR (471 MHz, DMSO-d_6): δ -110.51 (s); HRMS (ESI) m/z calcd for $[C_{13}H_9Br_2FNO]^+$ $[M+H]^+$: 373.9009, found 373.9001.

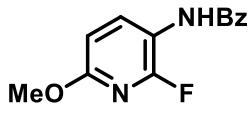
33. *N*-(6-bromo-2-fluoropyridin-3-yl)benzamide (3ae)



3ae

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ae**: 35 mg, 60% yield; White solid, m.p. = 141-145 °C; R_f = 0.3 (PE:EA = 20:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.80 (t, *J* = 9.0 Hz, 1H), 8.01 (s, 1H), 7.86 (d, *J* = 7.2 Hz, 2H), 7.62-7.59 (m, 1H), 7.51 (t, *J* = 8.1 Hz, 2H), 7.41 (d, *J* = 9.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.7, 151.4 (d, J_{C-F} = 240.7 Hz), 133.4, 132.74, 132.66, 130.1 (d, J_{C-F} = 12.6 Hz), 129.0, 127.1, 126.2 (d, J_{C-F} = 3.8 Hz), 121.3 (d, J_{C-F} = 23.9 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -84.55 (s); HRMS (ESI) m/z calcd for $[C_{12}H_9BrFN_2O]^+$ $[M+H]^+$: 294.9877, found 294.9879.

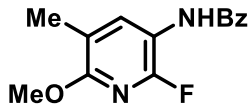
34. (*N*-(2-fluoro-6-methoxypyridin-3-yl)benzamide (3af)



3af

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3af**: 32 mg, 65% yield; White solid, m.p. = 121-122 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.61-8.57 (m, 1H), 7.86 (d, *J* = 8.1 Hz, 3H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 6.65 (d, *J* = 8.5 Hz, 1H), 3.89 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 158.6 (d, J_{C-F} = 12.6 Hz), 151.1 (d, J_{C-F} = 235.6 Hz), 135.6 (d, J_{C-F} = 2.5 Hz), 134.0, 132.2, 128.8, 127.0, 113.5 (d, J_{C-F} = 25.2 Hz), 107.2 (d, J_{C-F} = 5.0 Hz), 54.1; ^{19}F NMR (471 MHz, $CDCl_3$): δ -87.79 (s); HRMS (ESI) m/z calcd for $[C_{13}H_{12}FN_2O_2]^+$ $[M+H]^+$: 247.0877, found 247.0891.

35. *N*-(2-fluoro-6-methoxy-5-methylpyridin-3-yl)benzamide (3ag)

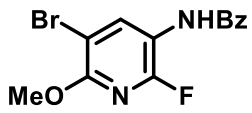


3ag

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ag**: 27 mg, 52% yield; White solid, m.p. = 126-129 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.43 (d, *J* = 9.7 Hz, 1H), 7.87 (d, *J* = 7.2 Hz, 2H), 7.79 (s, 1H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 3.91 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 156.3 (d, J_{C-F} = 12.1 Hz), 150.4 (d, J_{C-F} = 233.1 Hz), 135.5 (d, J_{C-F} = 2.2 Hz), 134.1, 132.1, 128.8, 127.0, 117.4 (d, J_{C-F} = 5.6 Hz), 112.8 (d, J_{C-F} = 25.2 Hz), 54.0, 15.0; ^{19}F NMR (471 MHz, $CDCl_3$):

δ -93.06 (s); HRMS (ESI) m/z calcd for $[C_{14}H_{14}FN_2O_2]^+$ $[M+H]^+$: 261.1034, found 264.1038.

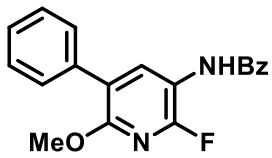
36. *N*-(5-bromo-2-fluoro-6-methoxypyridin-3-yl)benzamide (3ah)



3ah

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ah**: 49 mg, 76% yield; White solid, m.p. = 162-174 °C; R_f = 0.3 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.96 (d, *J* = 8.8 Hz, 1H), 7.86 (d, *J* = 7.3 Hz, 2H), 7.80 (s, 1H), 7.59 (t, *J* = 7.3 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 2H), 3.98 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.5, 154.2 (d, J_{C-F} = 12.9 Hz), 150.7 (d, J_{C-F} = 236.8 Hz), 137.7 (d, J_{C-F} = 2.7 Hz), 133.6, 132.5, 129.0, 127.1, 114.6 (d, J_{C-F} = 26.0 Hz), 101.2 (d, J_{C-F} = 5.5 Hz), 55.2; ^{19}F NMR (471 MHz, $CDCl_3$): δ -89.51 (s); HRMS (ESI) m/z calcd for $[C_{13}H_{11}BrFN_2O_2]^+$ $[M+H]^+$: 324.9982, found 324.9985.

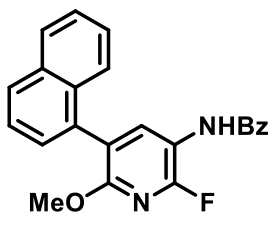
37. *N*-(2-fluoro-6-methoxy-5-phenylpyridin-3-yl)benzamide (3ai)



3ai

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ai**: 48 mg, 75% yield; White solid, m.p. = 148-152 °C; R_f = 0.3 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.71 (d, *J* = 9.7 Hz, 1H), 7.90-7.88 (m, 3H), 7.57 (t, *J* = 7.9 Hz, 3H), 7.49 (t, *J* = 7.6 Hz, 2H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 3.95 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 155.0 (d, J_{C-F} = 12.6 Hz), 151.0 (d, J_{C-F} = 236.9 Hz), 135.8 (d, J_{C-F} = 2.5 Hz), 135.3, 133.9, 132.2, 129.2, 128.8, 128.2, 127.7, 127.0, 121.6 (d, J_{C-F} = 5.0 Hz), 113.7 (d, J_{C-F} = 25.2 Hz), 54.31; ^{19}F NMR (471 MHz, $CDCl_3$): δ -90.15 (s); HRMS (ESI) m/z calcd for $[C_{19}H_{16}FN_2O_2]^+$ $[M+H]^+$: 323.1190, found 323.1192.

38. (*N*-(2-fluoro-6-methoxy-5-(naphthalen-1-yl)pyridin-3-yl)benzamide (3aj)

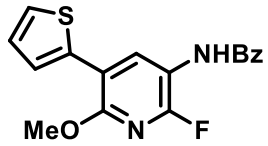


3aj

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3aj**: 55 mg, 74% yield; White solid, m.p. = 156-172 °C; R_f = 0.5 (PE:EA = 3:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.25 (s, 1H), 8.00 (d, *J* =

8.6 Hz, 5H), 7.62-7.57 (m, 2H), 7.53 (q, J = 9.6, 8.6 Hz, 5H), 7.46 (d, J = 6.9 Hz, 1H), 3.78 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.7, 156.9 (d, $J_{C\text{-}F}$ = 12.6 Hz), 154.7 (d, $J_{C\text{-}F}$ = 241.9 Hz), 142.0 (d, $J_{C\text{-}F}$ = 3.8 Hz), 133.7, 133.2, 132.9, 132.0, 131.3, 128.6, 128.4 (d, $J_{C\text{-}F}$ = 5.0 Hz), 127.9, 127.8, 126.5, 126.1, 125.6, 125.2, 119.5 (d, $J_{C\text{-}F}$ = 6.3 Hz), 112.8, 112.6, 54.3; ^{19}F NMR (471 MHz, $CDCl_3$): δ -87.86 (s); HRMS (ESI) m/z calcd for $[C_{23}H_{18}FN_2O_2]^+$ $[M+H]^+$: 373.1347, found 373.1352.

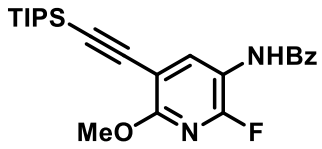
39. *N*-(2-fluoro-6-methoxy-5-(thiophen-2-yl)pyridin-3-yl)benzamide (3ak)



3ak

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ak**: 51 mg, 77% yield; yellow solid, m.p. = 173-179 °C; R_f = 0.5 (PE:EA = 3:1); ^{1}H NMR (500 MHz, DMSO-d_6): δ 9.35 (s, 1H), 7.51 (d, J = 9.0 Hz, 1H), 7.14 (d, J = 7.0 Hz, 2H), 6.78-6.73 (m, 3H), 6.67 (t, J = 7.6 Hz, 2H), 6.28 (dd, J = 5.2, 3.7 Hz, 1H), 3.13 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6): δ 165.7, 154.5 (d, $J_{C\text{-}F}$ = 1.9 Hz), 153.5 (d, $J_{C\text{-}F}$ = 227.9 Hz), 138.0 (d, $J_{C\text{-}F}$ = 3.5 Hz), 135.3, 133.5, 132.0, 128.5, 127.8, 127.5, 127.0, 126.2, 114.3 (d, $J_{C\text{-}F}$ = 5.8 Hz), 113.1 (d, $J_{C\text{-}F}$ = 27.3 Hz), 54.6; ^{19}F NMR (471 MHz, $CDCl_3$): δ -90.20 (s); HRMS (ESI) m/z calcd for $[C_{17}H_{14}FN_2O_2S]^+$ $[M+H]^+$: 329.0755, found 329.0749.

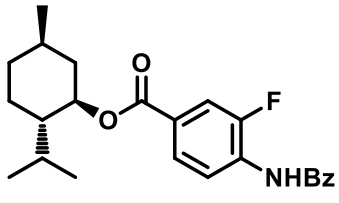
40. *N*-(2-fluoro-6-methoxy-5-((triisopropylsilyl)ethynyl)pyridin-3-yl)benzamide (3al)



3al

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3al**: 60 mg, 71% yield; m.p. = 127-135 °C; White solid, ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.75 (d, J = 9.6 Hz, 1H), 7.86 (d, J = 7.0 Hz, 2H), 7.80 (s, 1H), 7.56 (t, J = 7.4 Hz, 1H), 7.49 (t, J = 7.6 Hz, 2H), 3.94 (s, 3H), 1.13 (s, 21H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 159.2 (d, $J_{C\text{-}F}$ = 13.9 Hz), 151.2 (d, $J_{C\text{-}F}$ = 239.4 Hz), 138.3 (d, $J_{C\text{-}F}$ = 2.5 Hz), 133.7, 132.3, 128.8, 127.0, 113.1 (d, $J_{C\text{-}F}$ = 25.2 Hz), 104.6 (d, $J_{C\text{-}F}$ = 5.0 Hz), 99.7, 96.9, 54.6, 18.6, 11.2; ^{19}F NMR (471 MHz, $CDCl_3$): δ -87.90 (s); HRMS (ESI) m/z calcd for $[C_{24}H_{32}FN_2O_2Si]^+$ $[M+H]^+$: 427.2212, found 427.2206.

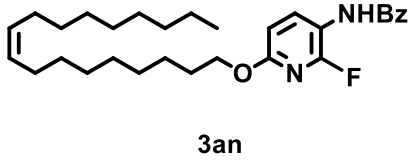
41. (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 4-benzamido-3-fluorobenzoate (3am)



3am

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3am**: 28 mg, 35% yield; White solid, m.p. = 112-115 °C; R_f = 0.5 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.63 (t, *J* = 8.2 Hz, 1H), 8.23 (d, *J* = 4.0 Hz, 1H), 7.93-7.88 (m, 3H), 7.80 (dd, *J* = 11.6, 1.9 Hz, 1H), 7.63-7.57 (m, 1H), 7.53 (dd, *J* = 8.3, 6.8 Hz, 2H), 4.93 (td, *J* = 10.9, 4.4 Hz, 1H), 2.15-2.09 (m, 1H), 1.94 (pd, *J* = 7.0, 2.8 Hz, 1H), 1.77-1.70 (m, 2H), 1.62-1.51 (m, 2H), 1.27 (d, *J* = 14.9 Hz, 1H), 1.15-1.07 (m, 2H), 0.93 (dd, *J* = 6.8, 3.8 Hz, 6H), 0.80 (d, *J* = 6.9 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.4, 164.7 (d, *J* = 2.7 Hz), 151.7 (d, *J* = 243.3 Hz), 134.1, 132.5, 130.7 (d, *J* = 9.9 Hz), 129.0, 127.1, 126.7 (d, *J* = 7.0 Hz), 126.5 (d, *J* = 3.2 Hz), 120.5, 115.9 (d, *J* = 21.0 Hz), 75.2, 47.2, 40.9, 34.3, 31.4, 26.5, 23.7, 22.0, 20.7, 16.5; ^{19}F NMR (471 MHz, $CDCl_3$): δ -134.64 (s); HRMS (ESI) m/z calcd for $[C_{24}H_{29}FNO_3]^+$ $[M+H]^+$: 398.2126, found 398.2111.

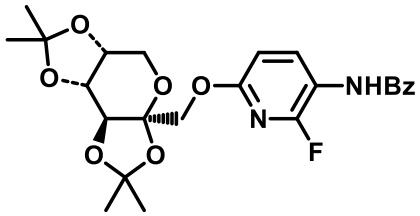
42. *(Z)*-*N*-(2-fluoro-6-(octadec-9-en-1-yloxy)pyridin-3-yl)benzamide (3an)



3an

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3an**: 64 mg, 66% yield; White solid, m.p. = 72-75 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.58 (t, *J* = 20.0 Hz, 1H), 7.87-7.85 (m, 3H), 7.56 (t, *J* = 7.3 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 6.63 (d, *J* = 8.6 Hz, 1H), 5.36-5.33 (m, 2H), 4.21 (t, *J* = 6.7 Hz, 2H), 2.02-2.00 (m, 3H), 1.75 (p, *J* = 6.9 Hz, 2H), 1.42 (d, *J* = 7.6 Hz, 2H), 1.31-1.26 (m, 21H), 0.87 (t, *J* = 6.8 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.6, 158.5 (d, $J_{C\text{-}F}$ = 12.6 Hz), 152.1 (d, $J_{C\text{-}F}$ = 236.9 Hz), 135.7 (d, $J_{C\text{-}F}$ = 2.5 Hz), 133.9, 132.0, 129.9, 129.7, 128.7, 127.0, 113.1 (d, $J_{C\text{-}F}$ = 23.9 Hz), 107.2 (d, $J_{C\text{-}F}$ = 5.0 Hz), 66.9, 31.8, 29.68, 29.66, 29.44, 29.38, 29.26, 29.24, 29.15, 28.8, 27.13, 27.10, 25.9, 22.6, 14.0; ^{19}F NMR (471 MHz, $CDCl_3$): δ -87.99 (s); HRMS (ESI) m/z calcd for $[C_{30}H_{44}FN_2O_2]^+$ $[M+H]^+$: 483.3381, found 483.3378.

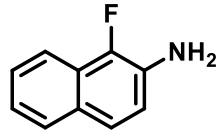
43. *N*-(2-fluoro-6-(((3a*S*,5a*R*,8a*R*,8b*S*)-2,2,7,7-tetramethyltetrahydro-3a*H*-bis([1, 3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-3a-yl)methoxy)pyridin-3-yl)benzamide (3ao)



3ao

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **3ao**: 75 mg, 80% yield; White solid, m.p. = 138-140 °C; R_f = 0.3 (PE:EA = 5:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.64-8.60 (m, 1H), 7.86-7.84 (m, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 2H), 6.68 (d, *J* = 8.6 Hz, 1H), 4.62 (dd, *J* = 7.9, 2.6 Hz, 1H), 4.57 (d, *J* = 11.4 Hz, 1H), 4.44 (d, *J* = 2.5 Hz, 1H), 4.25 (d, *J* = 11.0 Hz, 2H), 3.93 (dd, *J* = 13.0, 1.9 Hz, 1H), 3.78 (d, *J* = 13.0 Hz, 1H), 1.54 (s, 3H), 1.49 (s, 3H), 1.44 (s, 3H), 1.33 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 165.7, 157.6 (d, J_{C-F} = 12.5 Hz), 151.8 (d, J_{C-F} = 236.6 Hz), 135.7 (d, J_{C-F} = 2.5 Hz), 134.1, 132.3, 129.0, 127.2, 114.2 (d, J_{C-F} = 24.3 Hz), 109.2, 108.9, 107.5 (d, J_{C-F} = 5.0 Hz), 102.1, 71.0, 70.6, 70.3, 67.5, 61.33, 26.6, 26.0, 25.4, 24.2; ^{19}F NMR (471 MHz, $CDCl_3$): δ -87.38 (s); HRMS (ESI) m/z calcd for $[C_{24}H_{27}FN_2O_7]^+$ $[M+H]^+$: 475.1875, found 475.1884.

44. 1-fluoronaphthalen-2-amine (4a)



4a

Followed **General Procedure C** on 0.2 mmol scale. Purified via column chromatography on silica to obtain **4a**: 27 mg, 84% yield; brown solid, m.p. = 62-63 °C; R_f = 0.3 (PE:DCM = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (d, *J* = 8.5 Hz, 1H), 7.75 (d, *J* = 10.9 Hz, 1H), 7.51-7.48 (m, 2H), 7.32 (ddd, *J* = 8.1, 6.8, 1.2 Hz, 1H), 7.03 (t, *J* = 8.5 Hz, 1H), 3.82 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 144.6 (d, J_{C-F} = 239.6 Hz), 129.5 (d, *J* = 12.2 Hz), 128.2 (d, J_{C-F} = 3.7 Hz), 127.4 (d, J_{C-F} = 2.8 Hz), 126.5 (d, J_{C-F} = 1.8 Hz), 124.2 (d, J_{C-F} = 14.3 Hz), 123.9 (d, J_{C-F} = 4.4 Hz), 123.1, 118.7 (d, J_{C-F} = 3.7 Hz), 118.4 (d, J_{C-F} = 5.4 Hz); ^{19}F NMR (471 MHz, $CDCl_3$): δ -152.34 (s); HRMS (ESI) m/z calcd for $[C_{10}H_9FN]^+$ $[M+H]^+$: 162.0714, found 162.0713.

References

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X-Ray Crystal Structure Data

Suitable crystals of compound **3z′**and **3af** were obtained by slowly evaporating a mixture of dichloromethane 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 173 K on a Bruker Apex II single crystal diffractometer, employing a Mo K_α radiation (λ = 0.71073 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 addtional symmetriy could be applied to the models. **CCDC 2120312 (3z′) and CCDC 2098017 (3af)** contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre.

References

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2. L. Palatinus, G. Chapuis, *J. Appl. Crystallogr.* **2007**, *40*, 786-790.
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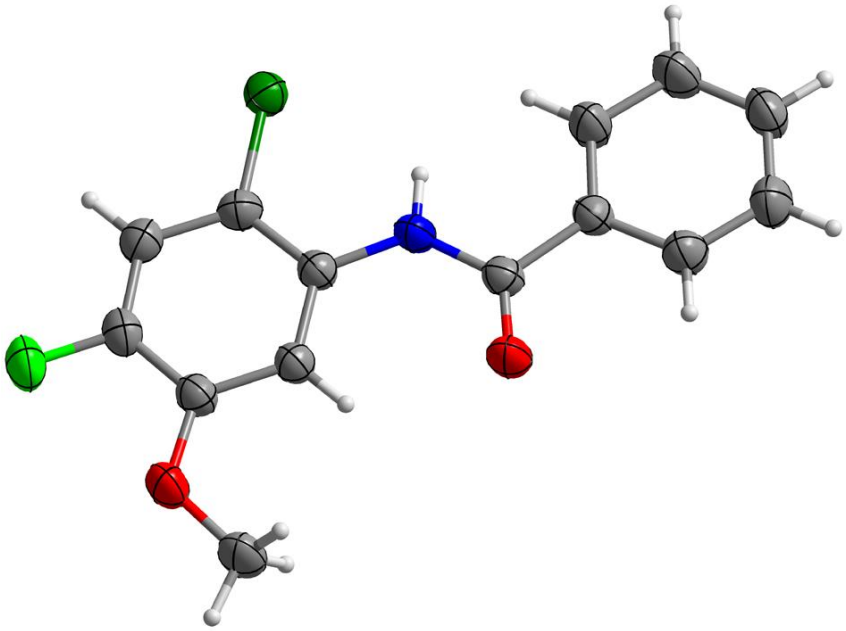
Crystal Data and Structure Refinement for 3z′ (Thermal Ellipsoids at the 30% Probability Level)

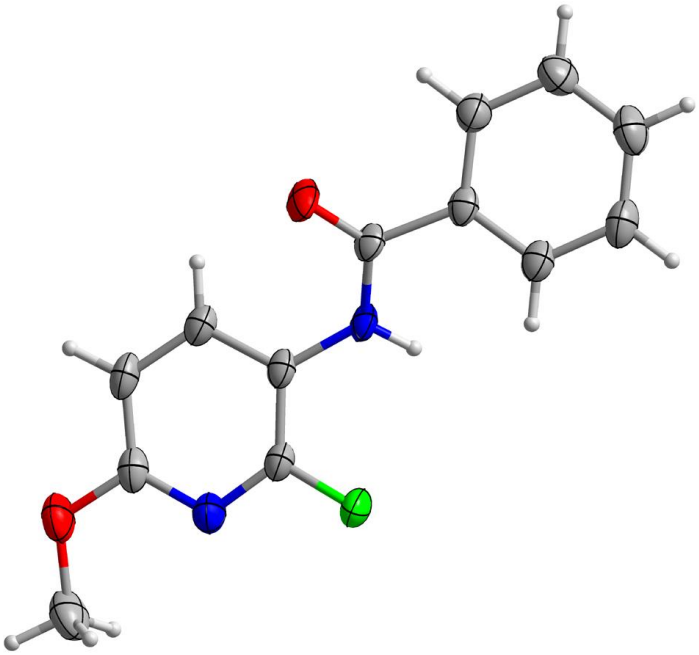


CCDC: 2120312

Table 1 Crystal data and structure refinement for 3z′	
Identification code	**3z′**
Empirical formula	$C_{14}H_{11}ClFNO_2$
Formula weight	279.69
Temperature/K	293(2)
Crystal system	triclinic
Space group	P-1
a/Å	4.4801(2)
b/Å	10.3049(8)
c/Å	14.1857(9)
α/°	79.369(6)
β/°	88.537(5)
γ/°	84.455(5)
Volume/Å^3	640.64(7)
Z	2
ρ_{calc}mg/mm^3	1.450
μ/mm^{-1}	2.743

F(000)	288.0
Crystal size/mm^3	0.03 ×0.02 ×0.01
2Θ range for data collection	6.34 to 134.16 °
Index ranges	-4 ≤ h ≤ 5, -12 ≤ k ≤ 12, -16 ≤ l ≤ 16
Reflections collected	5535
Independent reflections	2255[R(int) = 0.0611]
Data/restraints/parameters	2255/1/173
Goodness-of-fit on F^2	1.086
Final R indexes [I>=2σ (I)]	R_1 = 0.0535, wR_2 = 0.1498
Final R indexes [all data]	R_1 = 0.0758, wR_2 = 0.1626
Largest diff. peak/hole / e Å^{-3}	0.19/-0.26

Crystal Data and Structure Refinement for 3af (Thermal Ellipsoids at the 30% Probability Level)

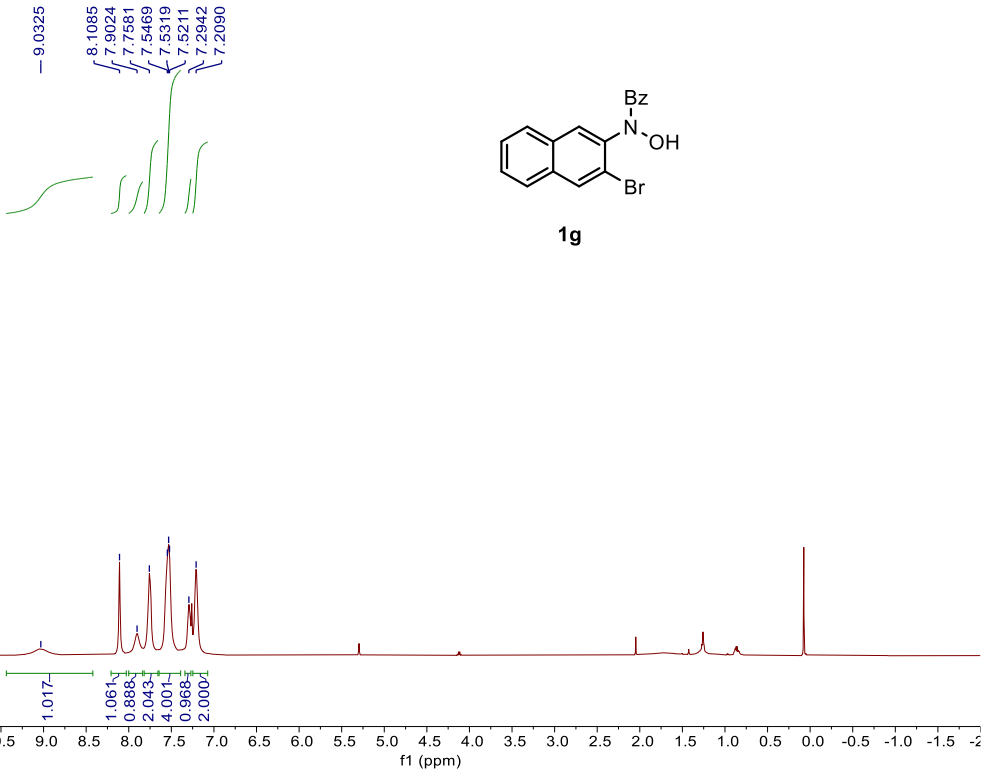


CCDC: 2098017

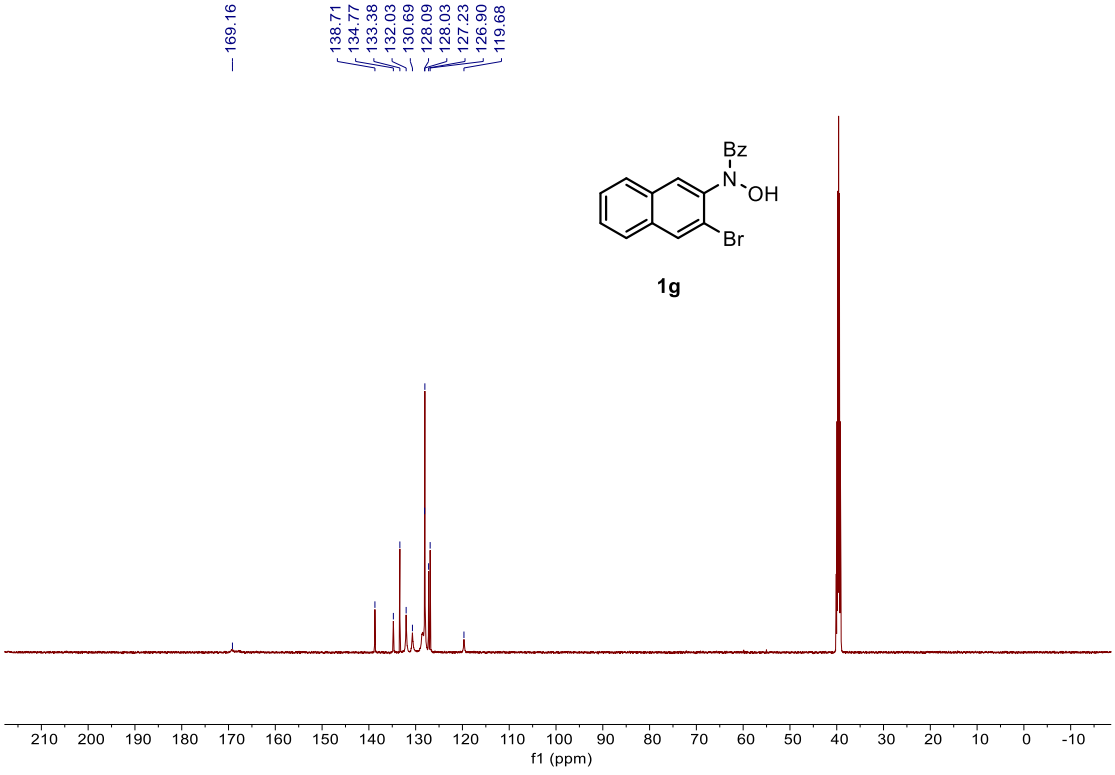
Table 1 Crystal data and structure refinement for 3af	
Identification code	**3af**
Empirical formula	$C_{13}H_{11}FN_2O_2$
Formula weight	246.24
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1/n$
a/Å	5.5609(4)
b/Å	4.9455(3)
c/Å	42.047(3)
α/°	90
β/°	92.618(6)
γ/°	90
Volume/Å^3	1155.13(13)
Z	4
ρ_{calc}g/cm^3	1.416
μ/mm^{-1}	0.910
F(000)	512.0
Crystal size/mm^3	0.06 × 0.05 × 0.04
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.42 to 133.93
Index ranges	$-6 \le h \le 6$, $-5 \le k \le 3$, $-50 \le l \le 49$
Reflections collected	5702
Independent reflections	2023 [R_{int} = 0.0405, R_{sigma} = 0.0408]
Data/restraints/parameters	2023/0/164
Goodness-of-fit on F^2	1.129
Final R indexes [I>=2σ (I)]	R_1 = 0.0563, wR_2 = 0.1467
Final R indexes [all data]	R_1 = 0.0671, wR_2 = 0.1518
Largest diff. peak/hole / e Å^{-3}	0.20/-0.22

NMR spectra for the starting material

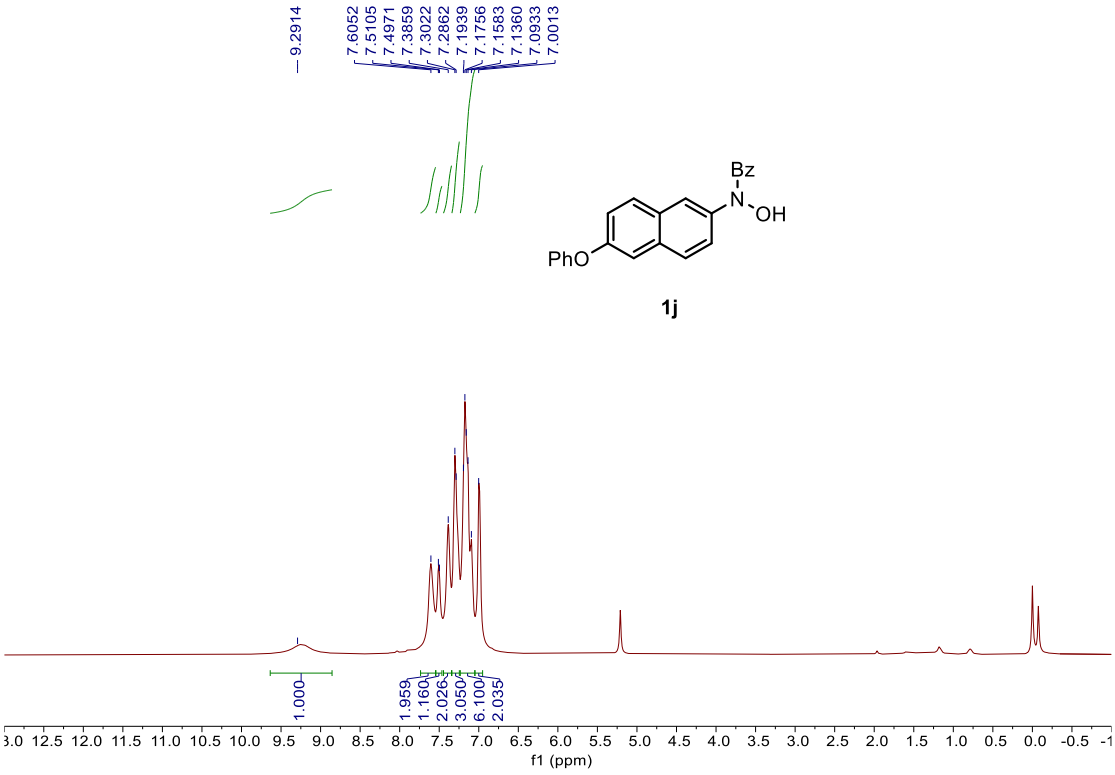
^{1}H NMR of Compound 1g (500 MHz, $CDCl_3$)



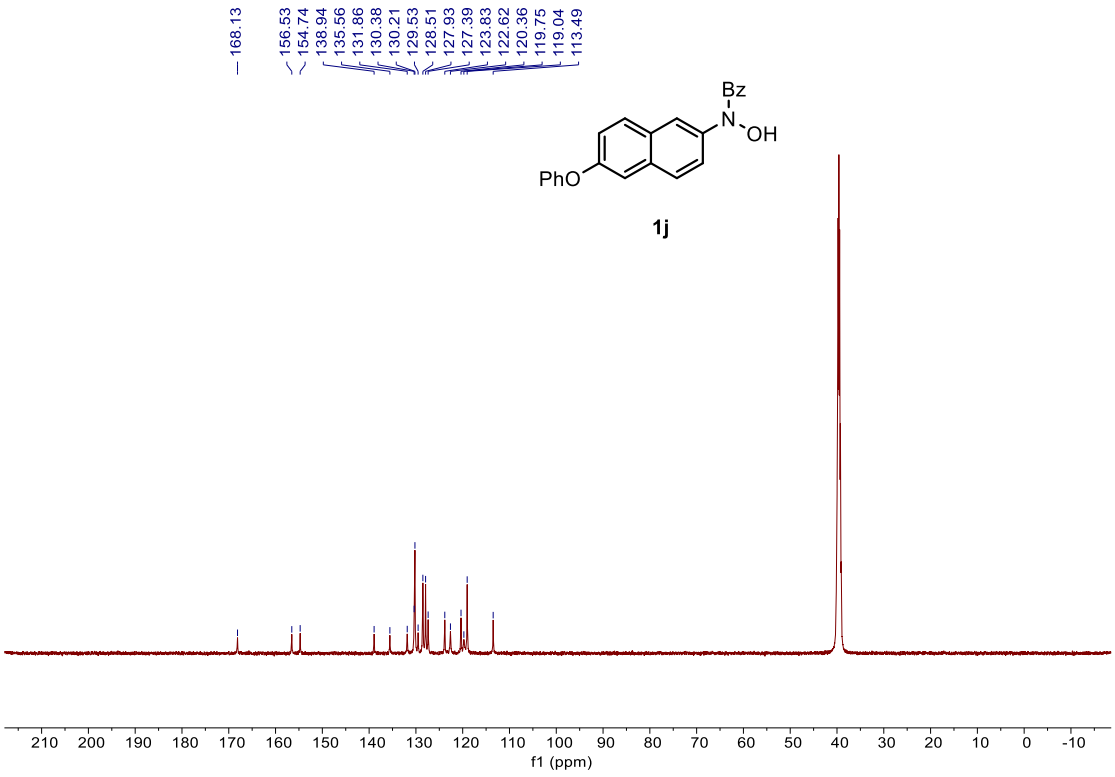
^{13}C NMR of Compound 1g (126 MHz, DMSO-d_6)



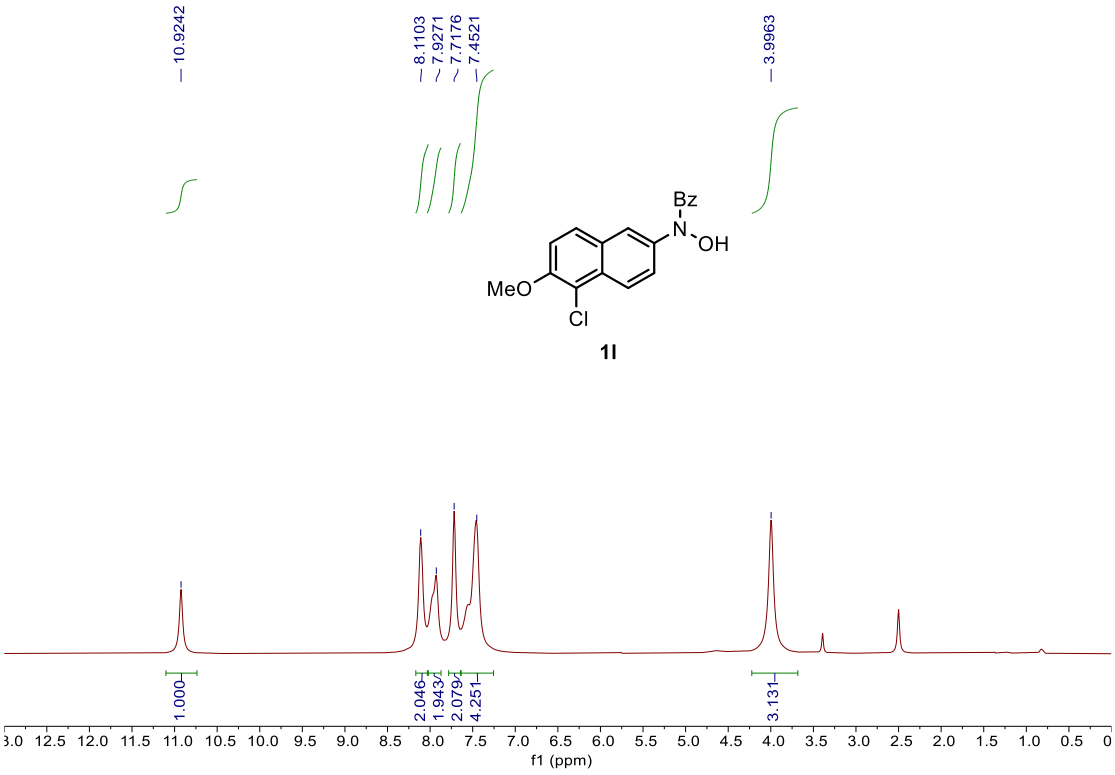
^{1}H NMR of Compound 1j (500 MHz, $CDCl_3$)



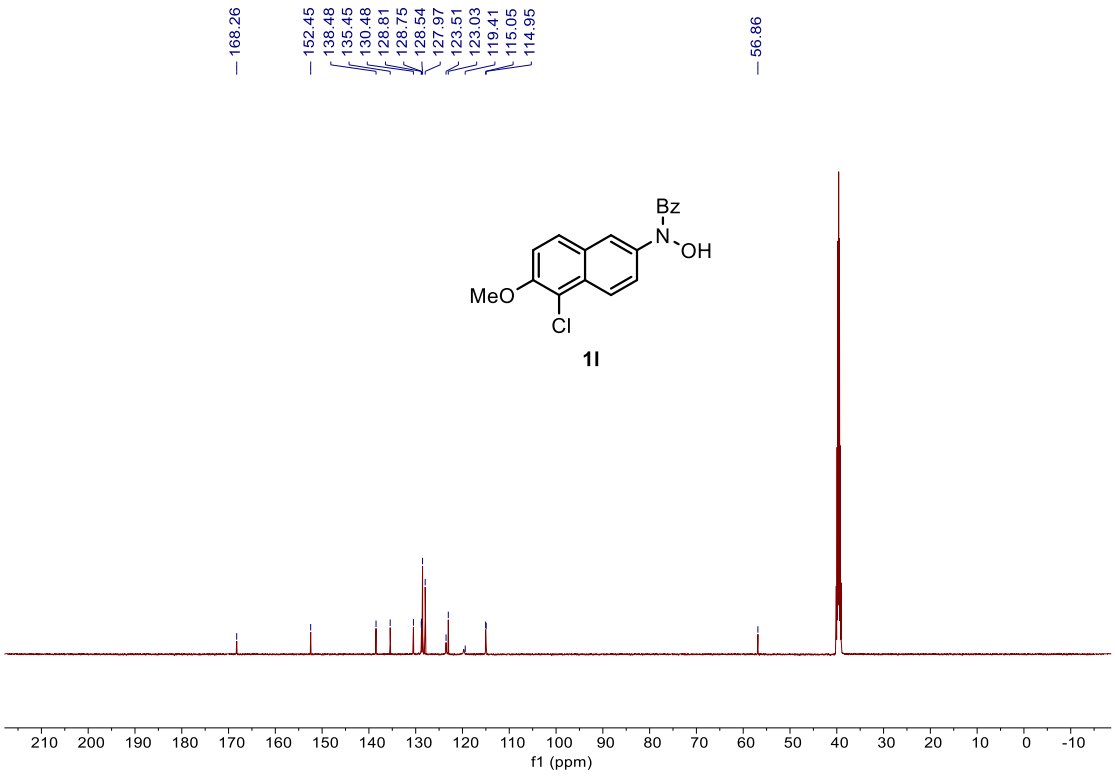
^{13}C NMR of Compound 1j (126 MHz, DMSO-d_6)



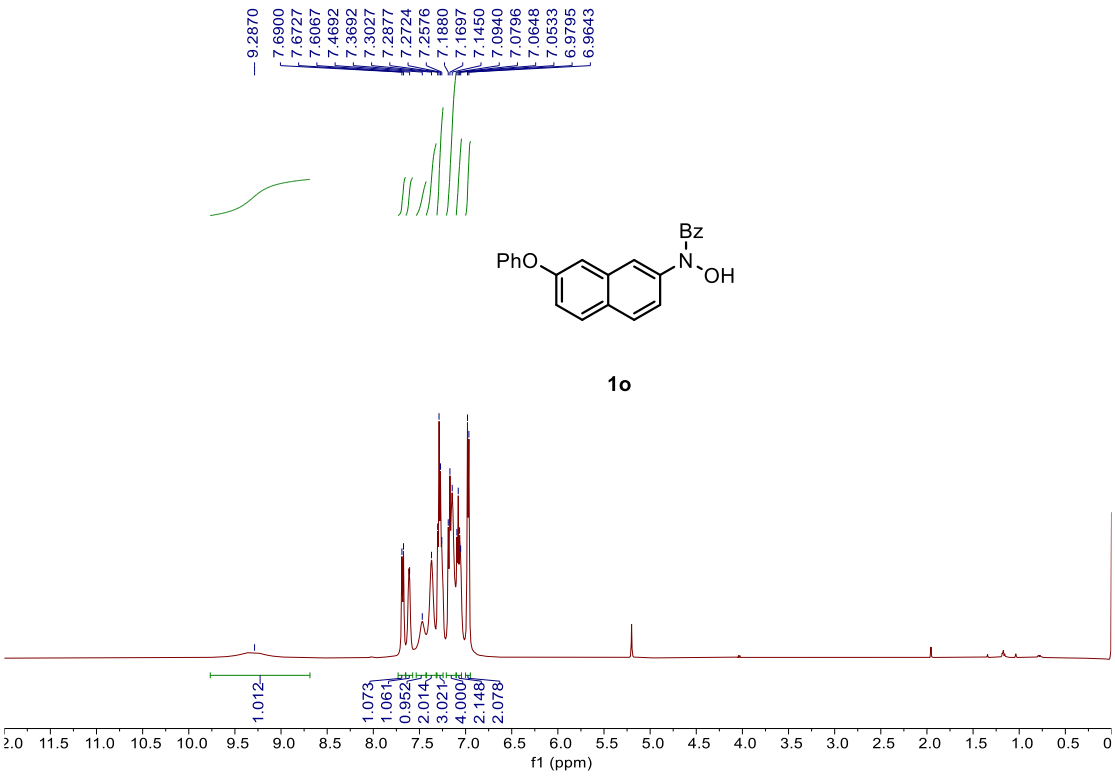
^{1}H NMR of Compound 1l (500 MHz, DMSO-d_6)



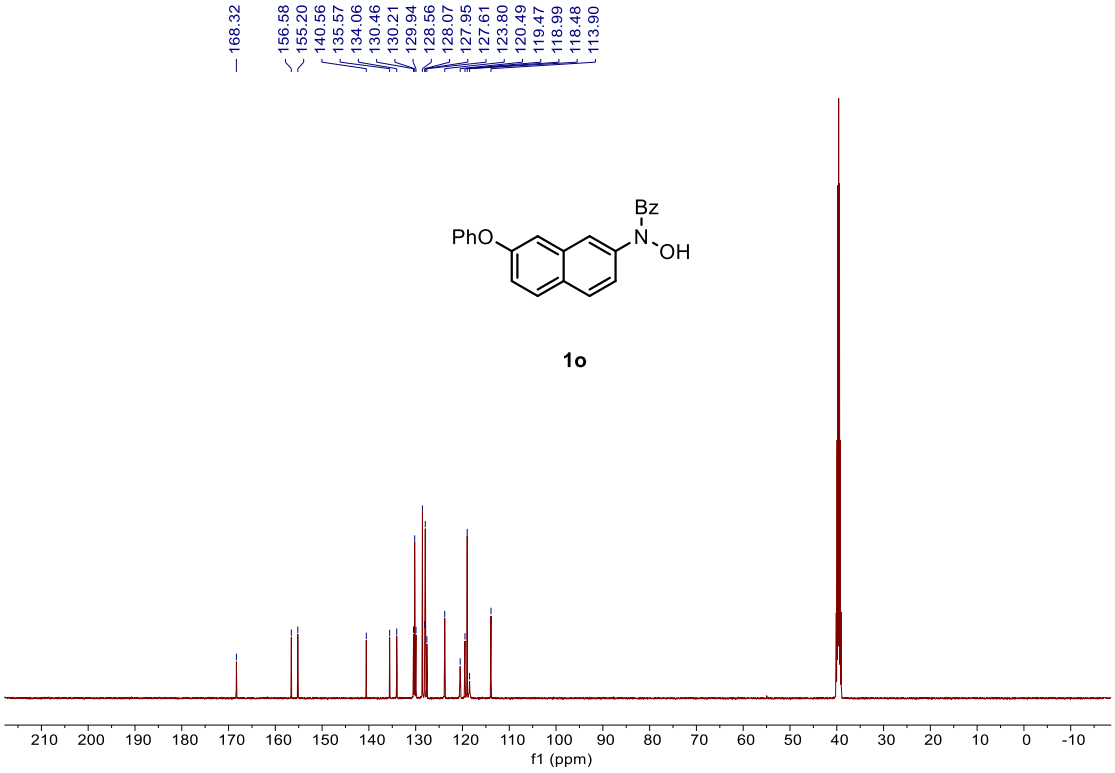
^{13}C NMR of Compound 1l (126 MHz, DMSO-d_6)



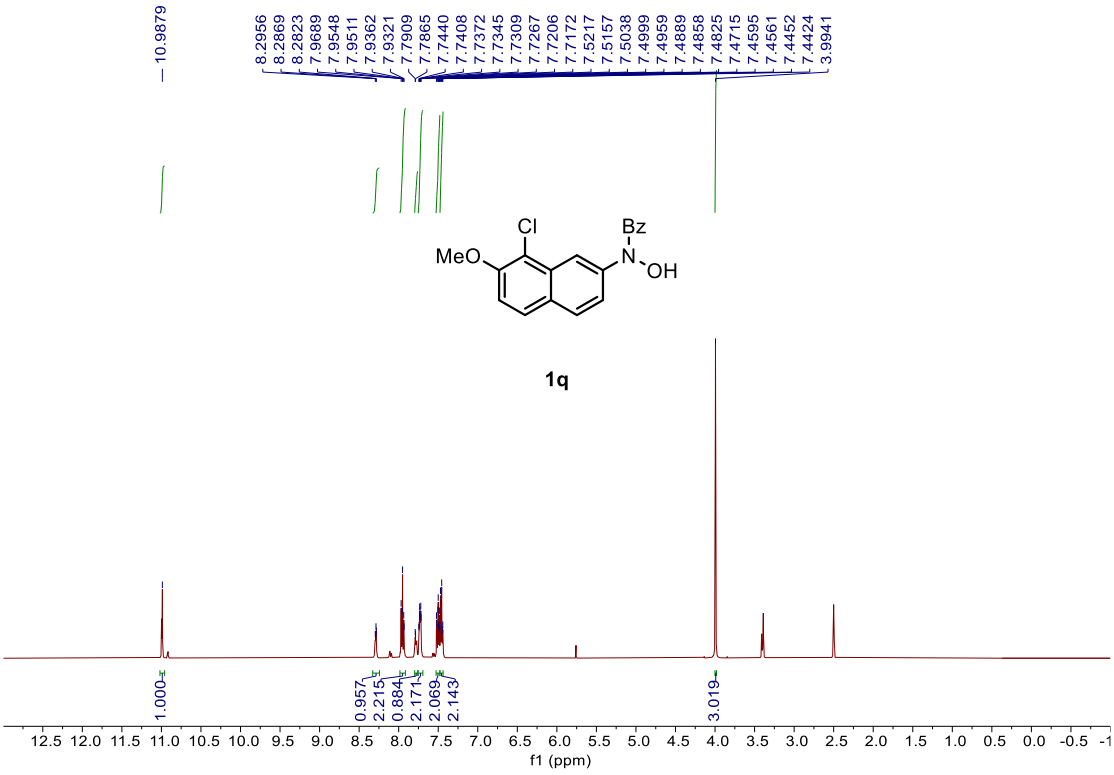
^{1}H NMR of Compound 1o (500 MHz, $CDCl_3$)



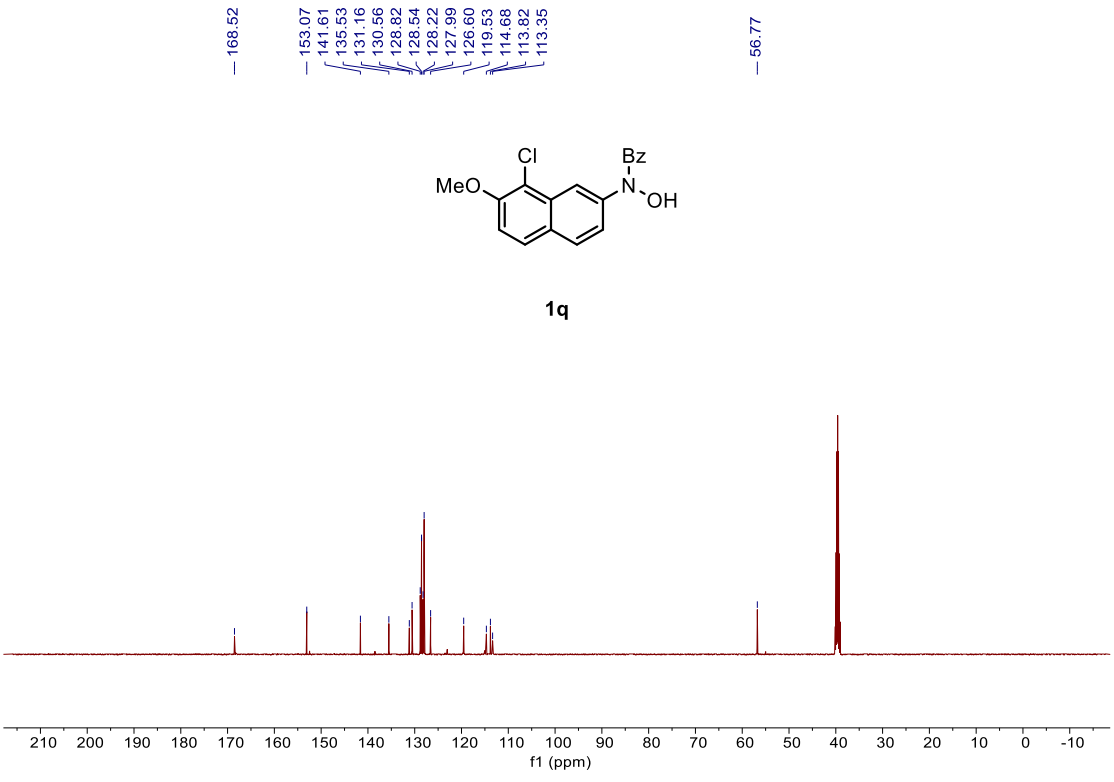
^{13}C NMR of Compound 1o (126 MHz, DMSO-d_6)



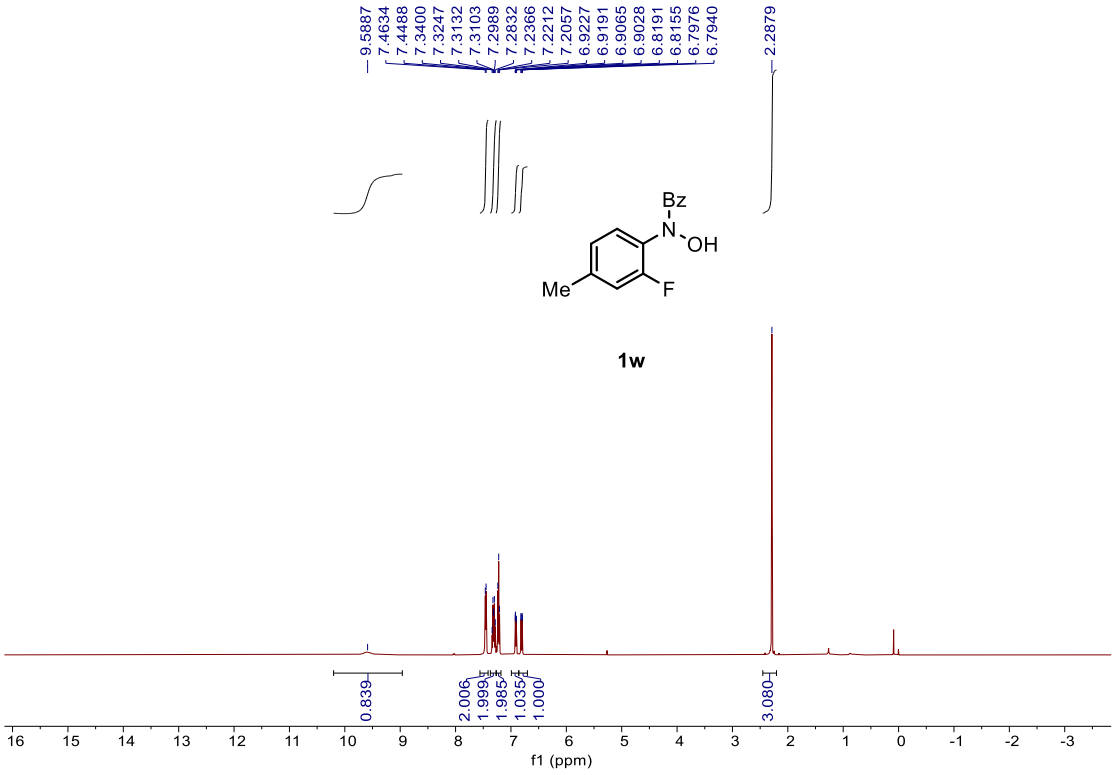
^{1}H NMR of Compound 1q (500 MHz, DMSO-d_6)



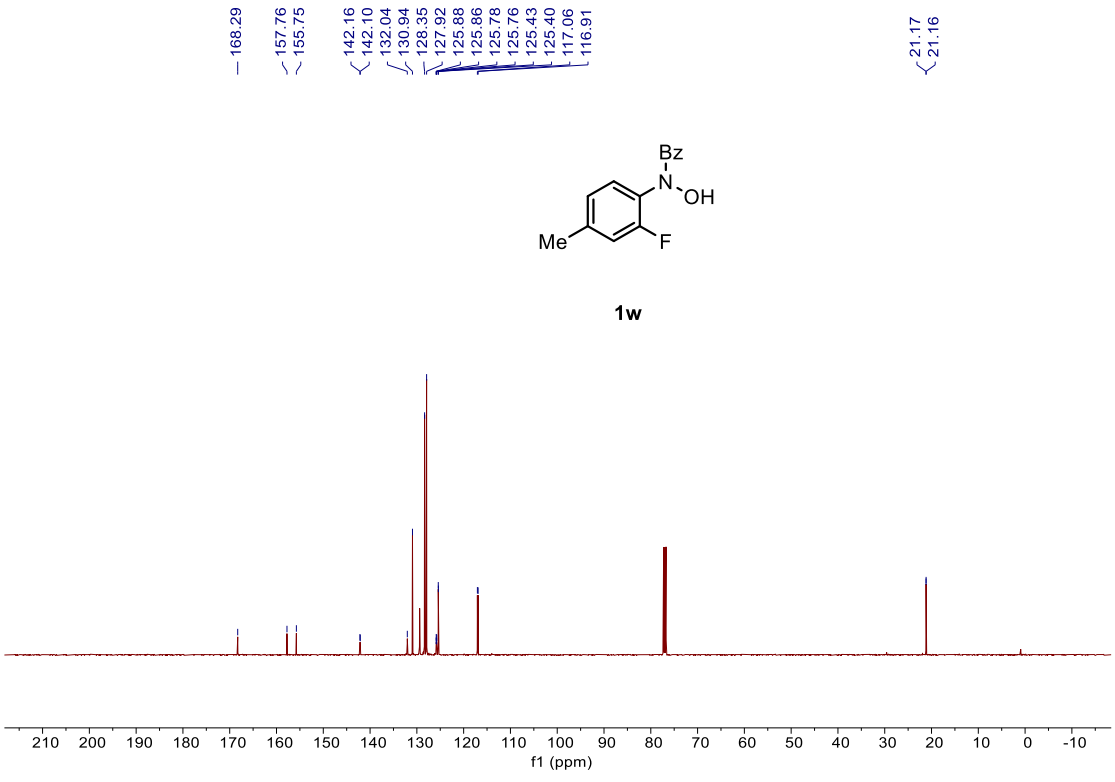
^{13}C NMR of Compound 1q (126 MHz, DMSO-d_6)



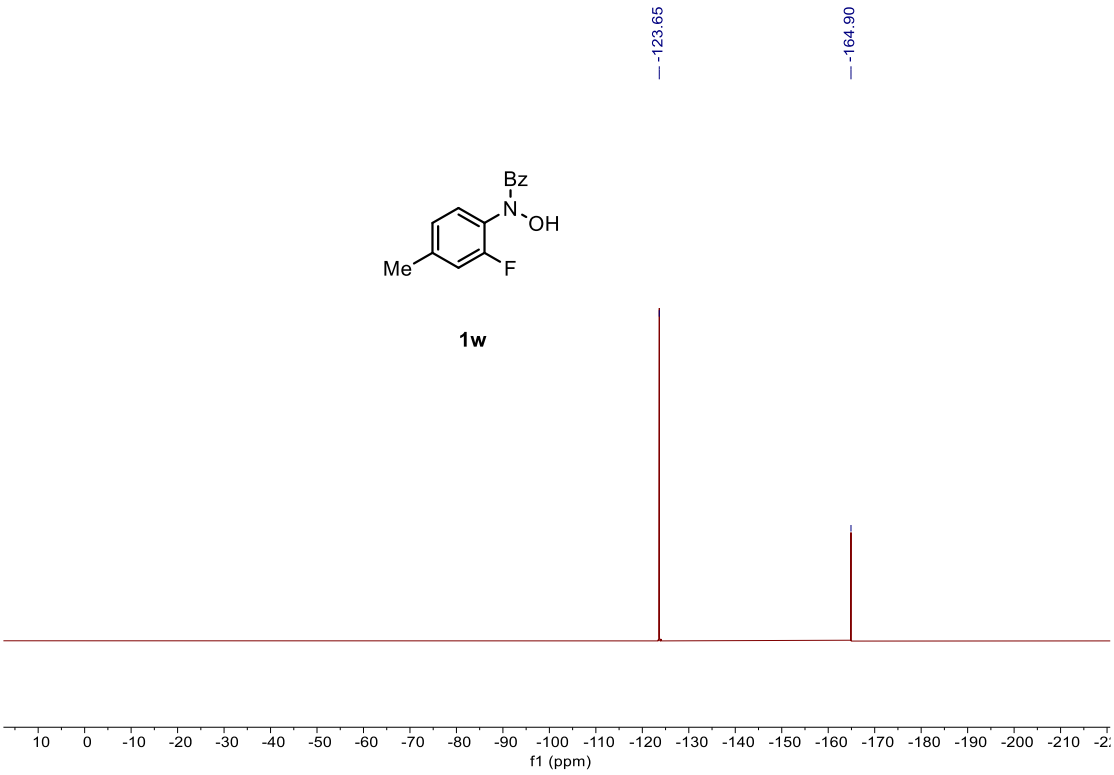
^{1}H NMR of Compound 1w (500 MHz, $CDCl_3$)



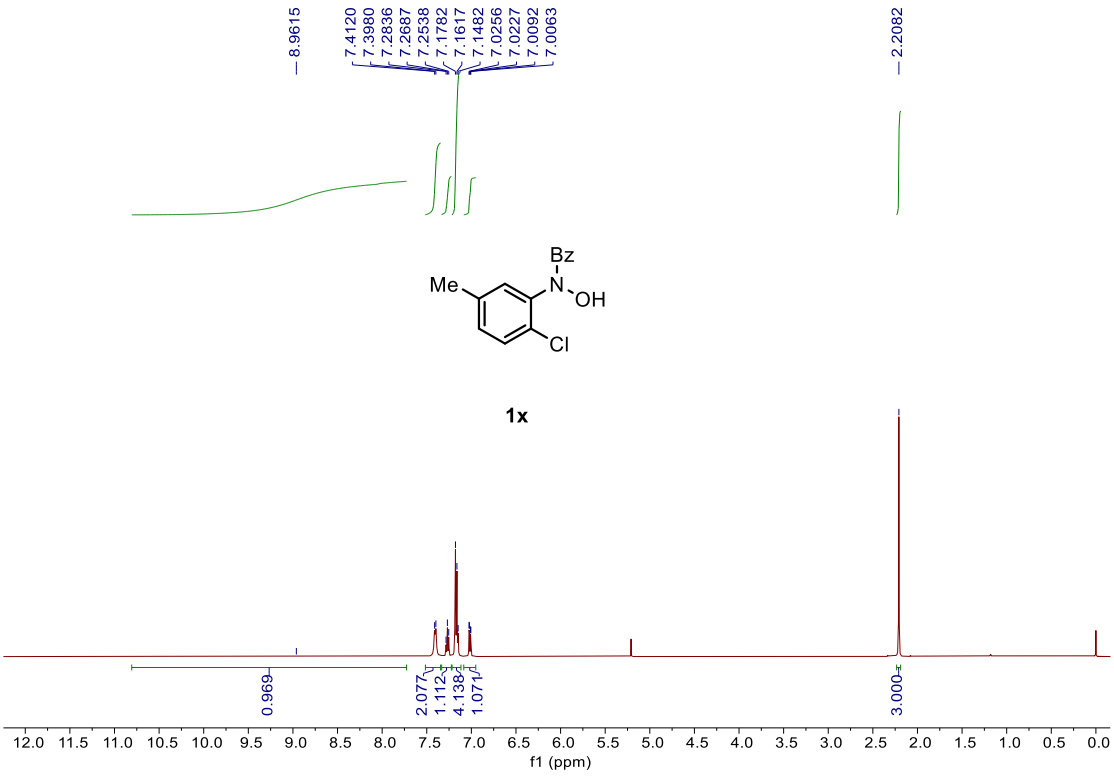
^{13}C NMR of Compound 1w (126 MHz, $CDCl_3$)



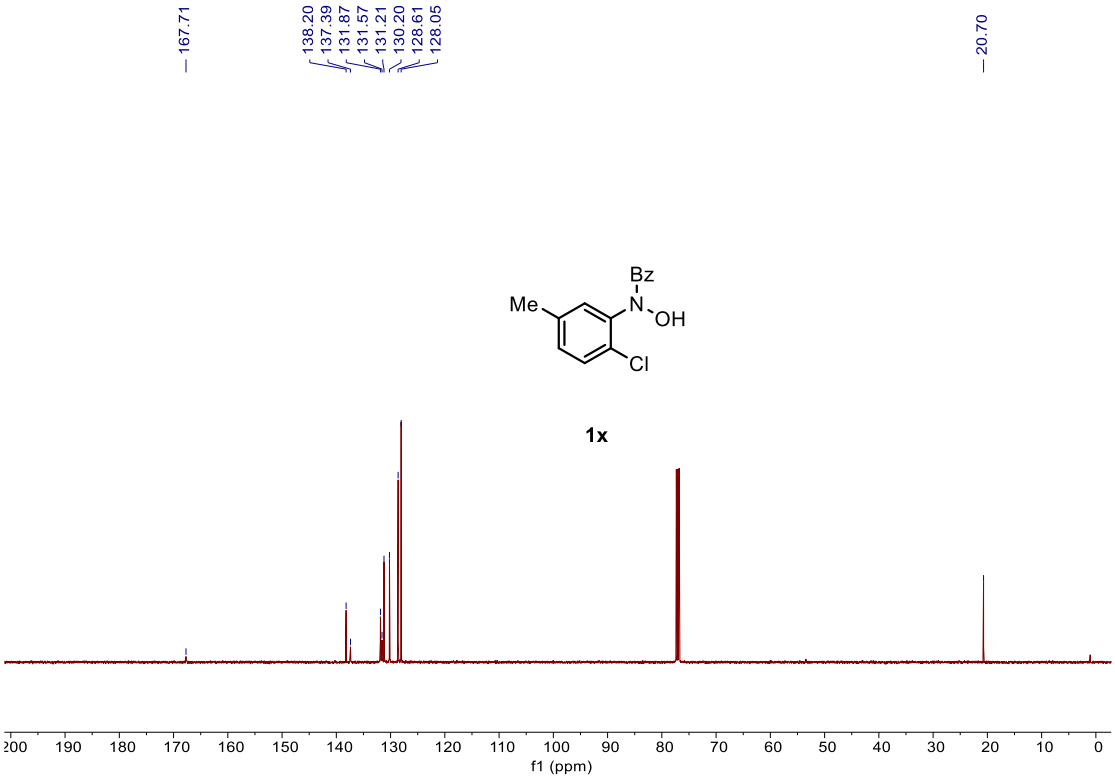
^{19}F NMR of Compound 1w (471 MHz, $CDCl_3$-d_6)



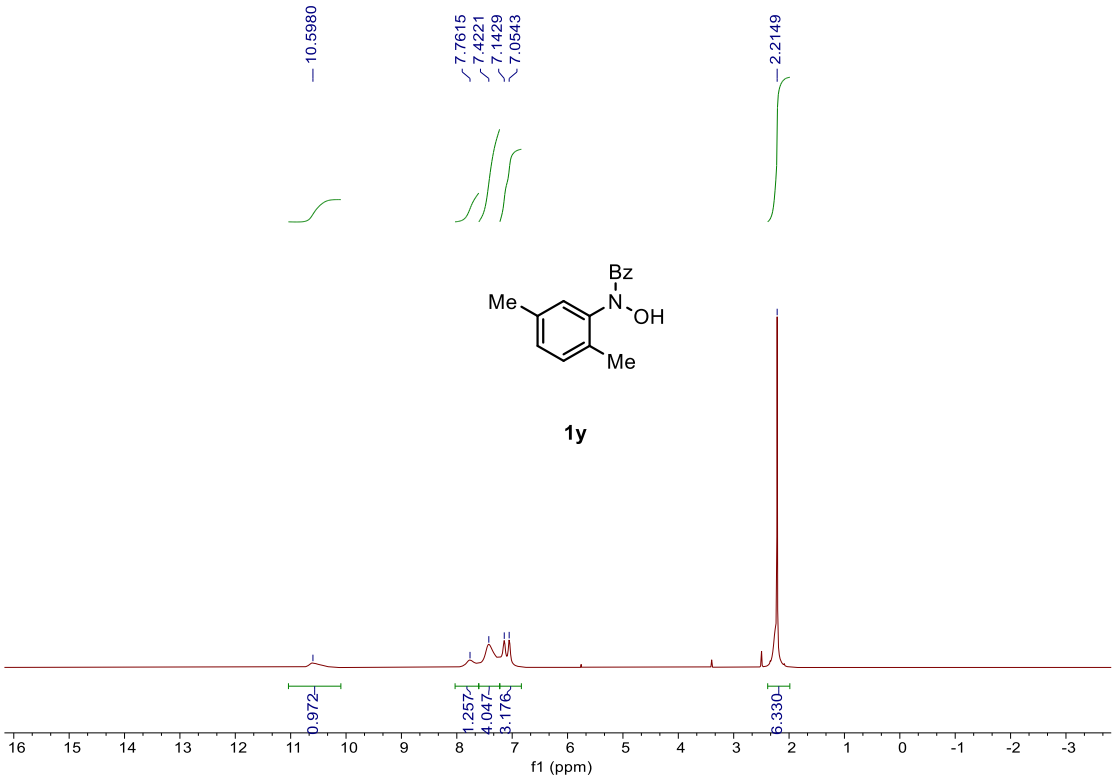
^{1}H NMR of Compound 1x (500 MHz, $CDCl_3$)



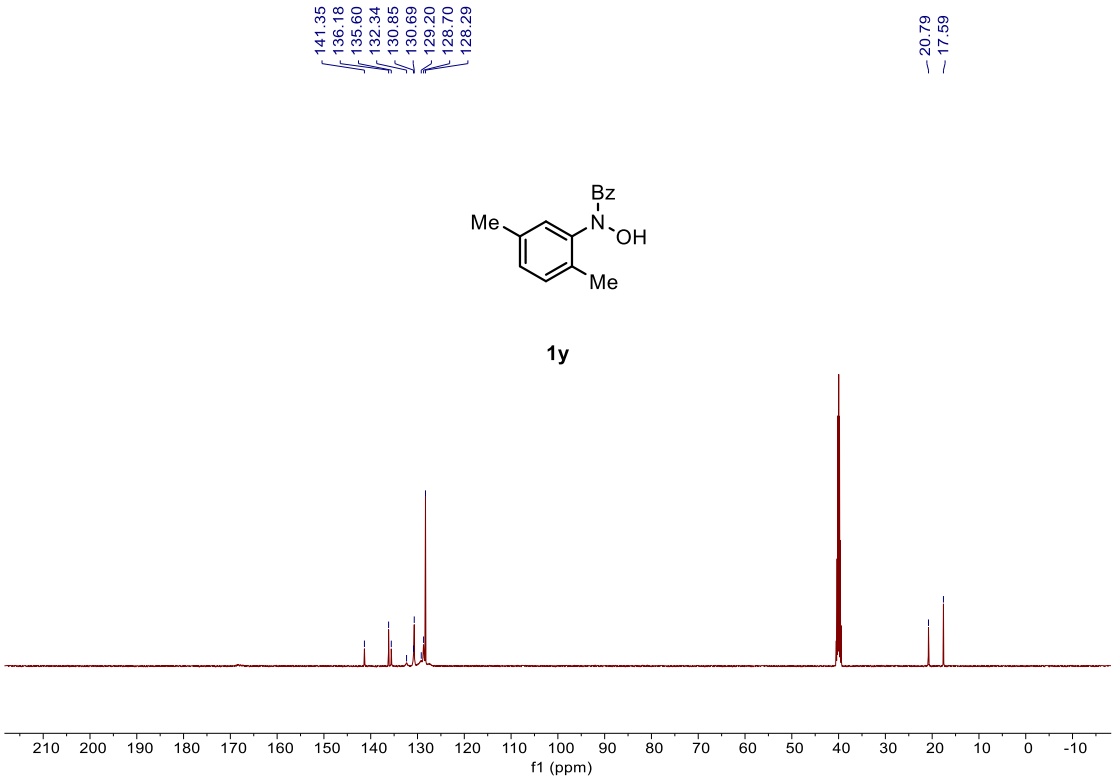
^{13}C NMR of Compound 1x (126 MHz, $CDCl_3$)



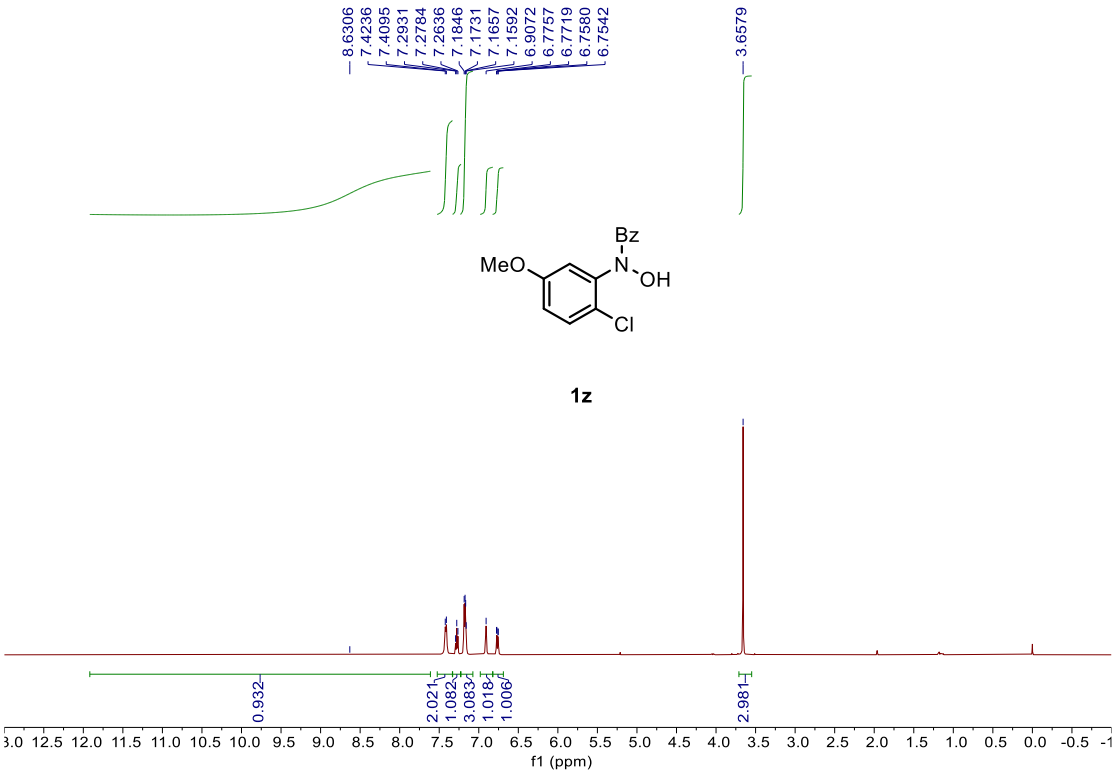
^{1}H NMR of Compound 1y (500 MHz, DMSO-d_6)



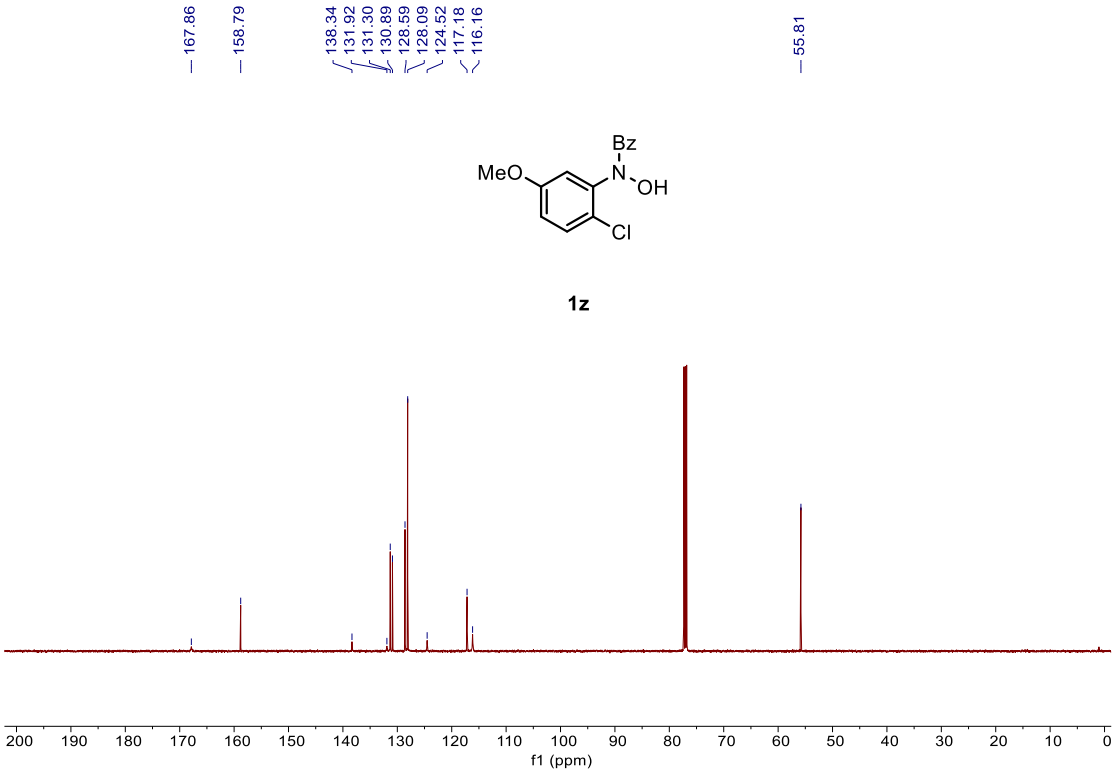
^{13}C NMR of Compound 1y (126 MHz, DMSO-d_6)



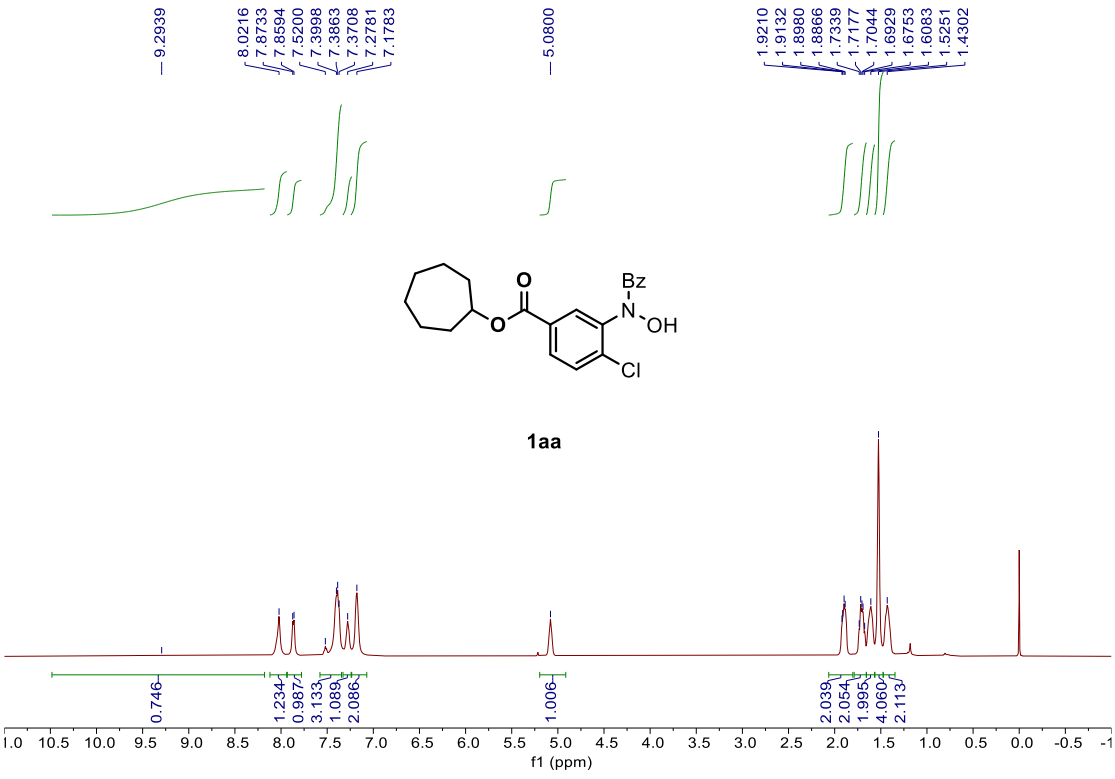
^{1}H NMR of Compound 1z (500 MHz, $CDCl_3$)



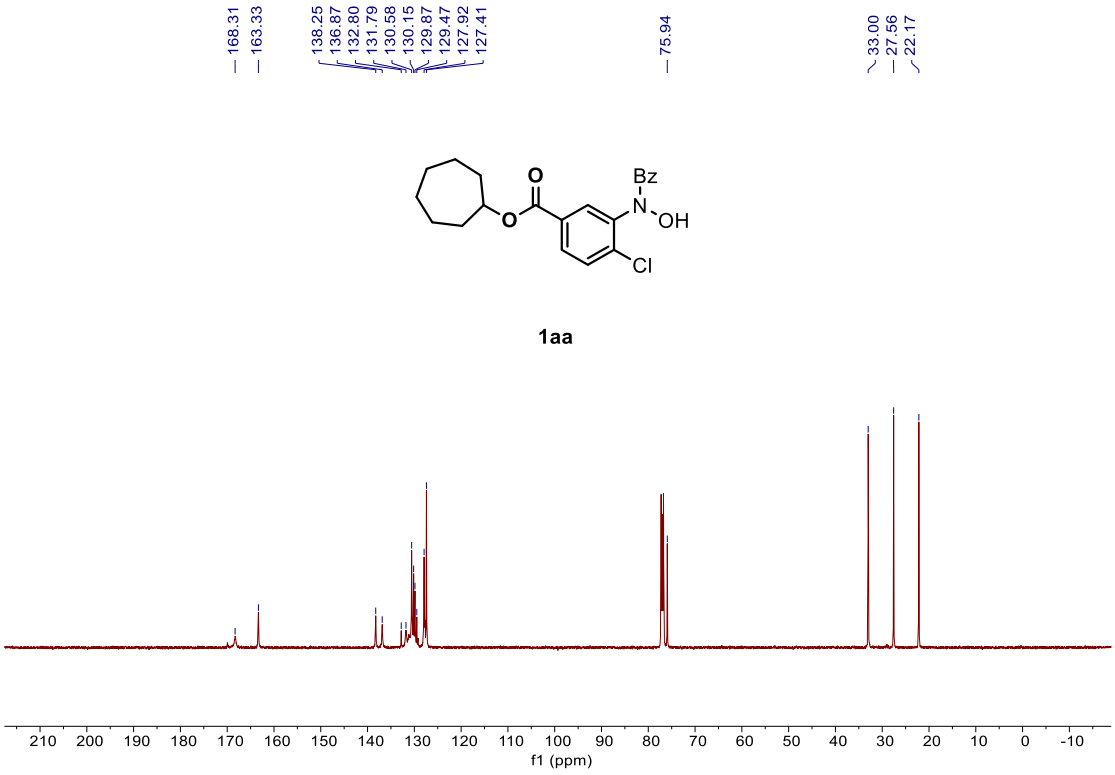
^{13}C NMR of Compound 1z (126 MHz, $CDCl_3$)



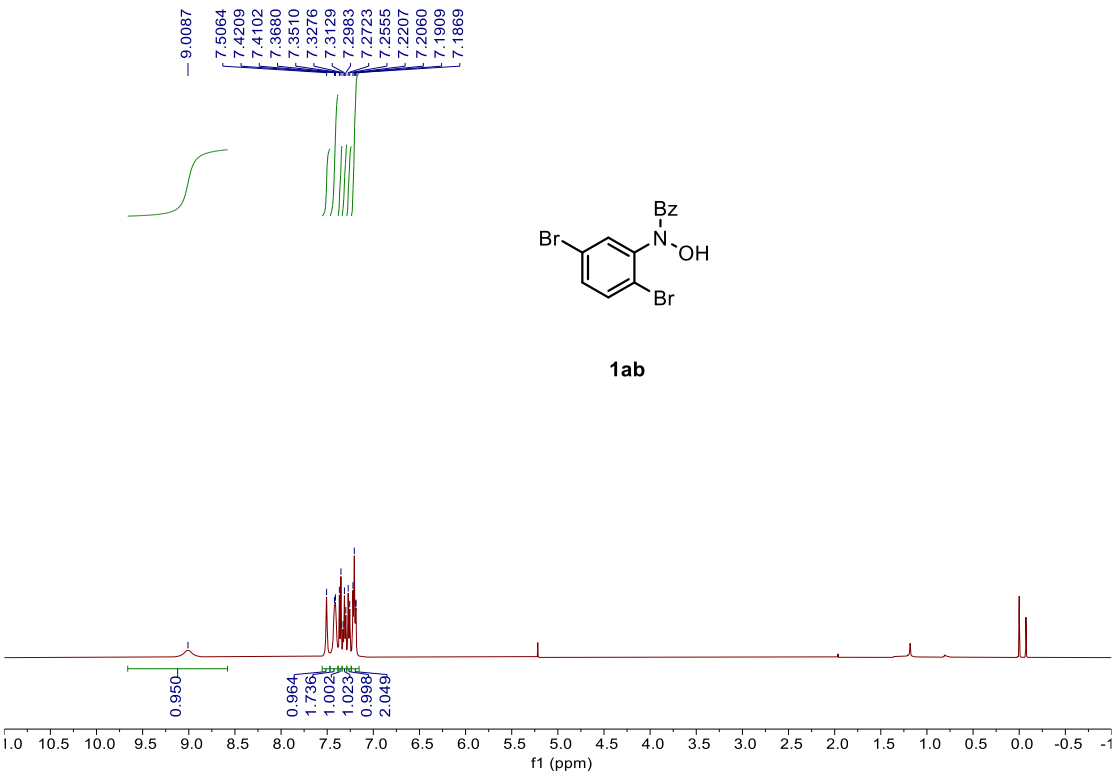
^{1}H NMR of Compound 1aa (500 MHz, $CDCl_3$)



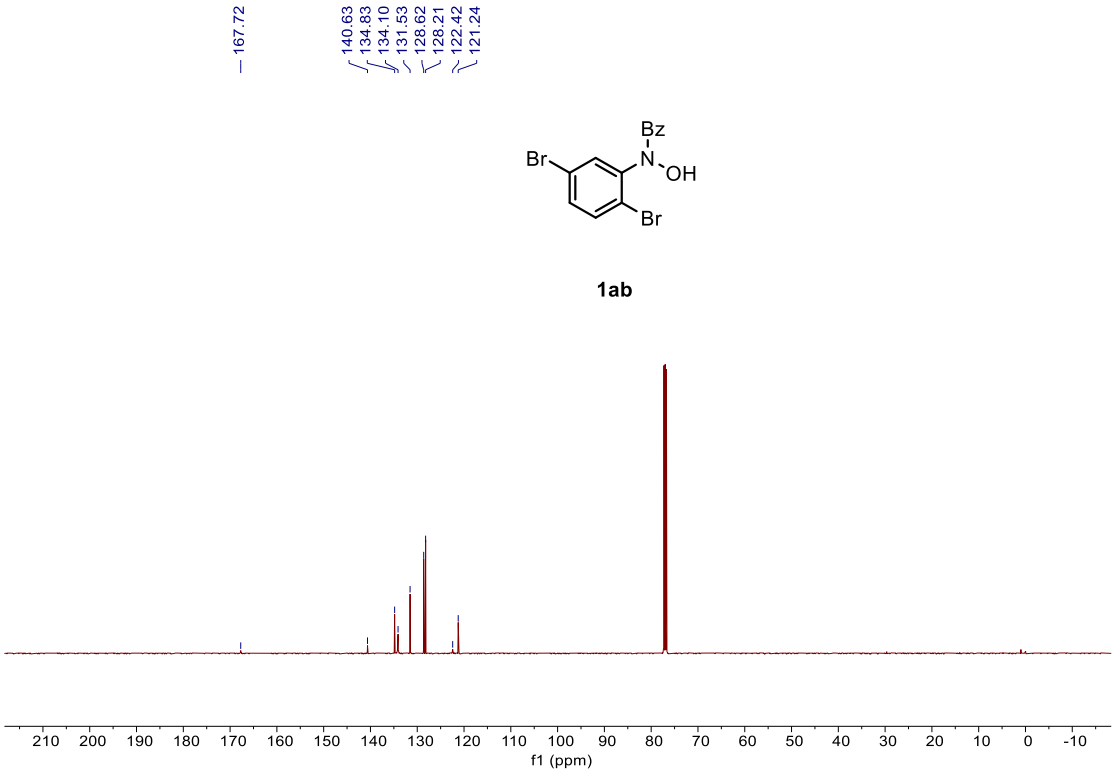
^{13}C NMR of Compound 1aa (126 MHz, $CDCl_3$)



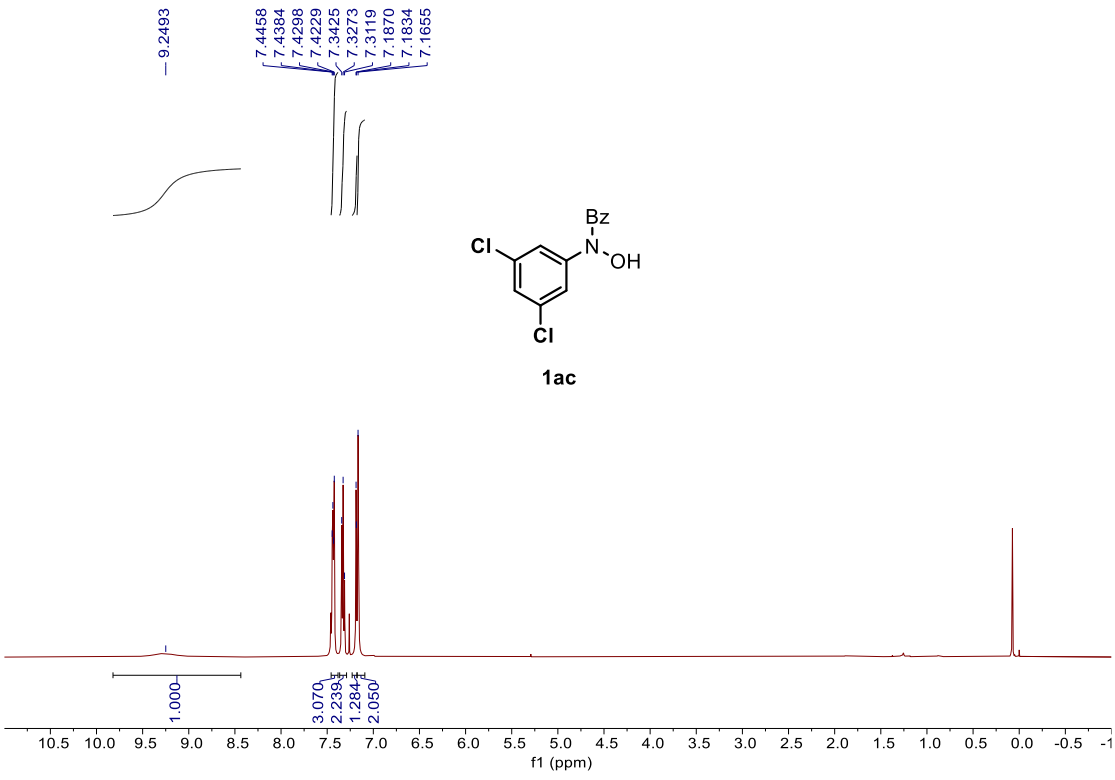
^{1}H NMR of Compound 1ab (500 MHz, $CDCl_3$)



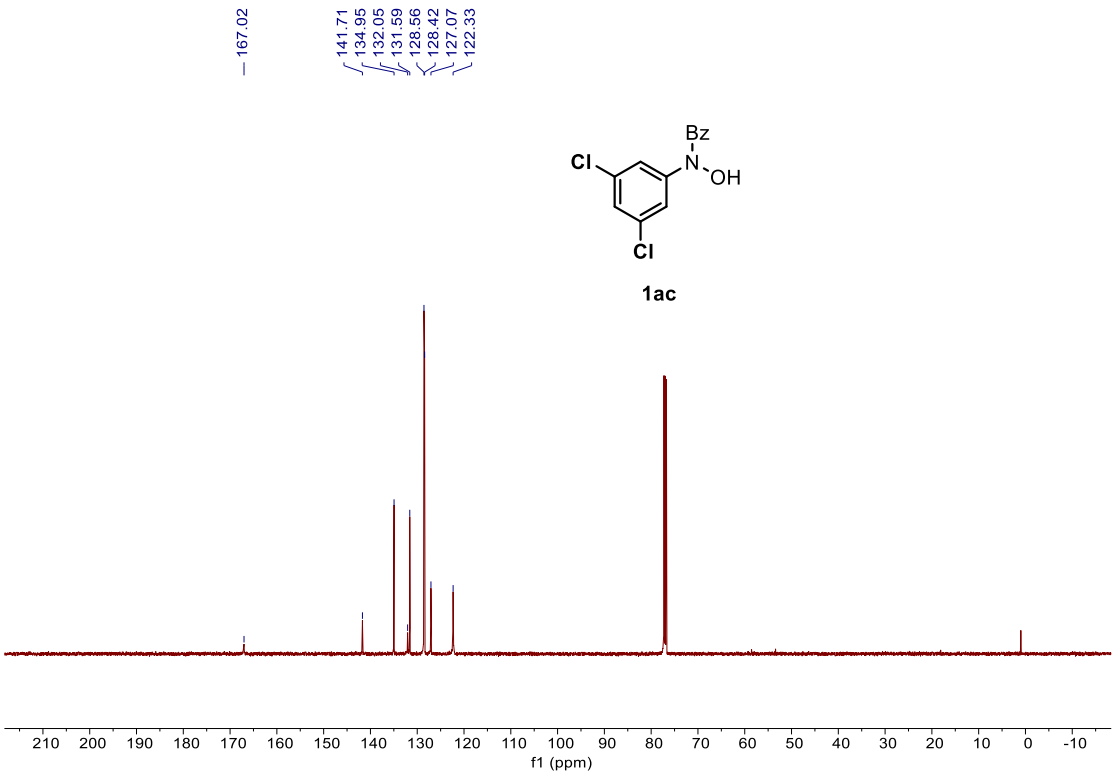
^{13}C NMR of Compound 1ab (126 MHz, $CDCl_3$)



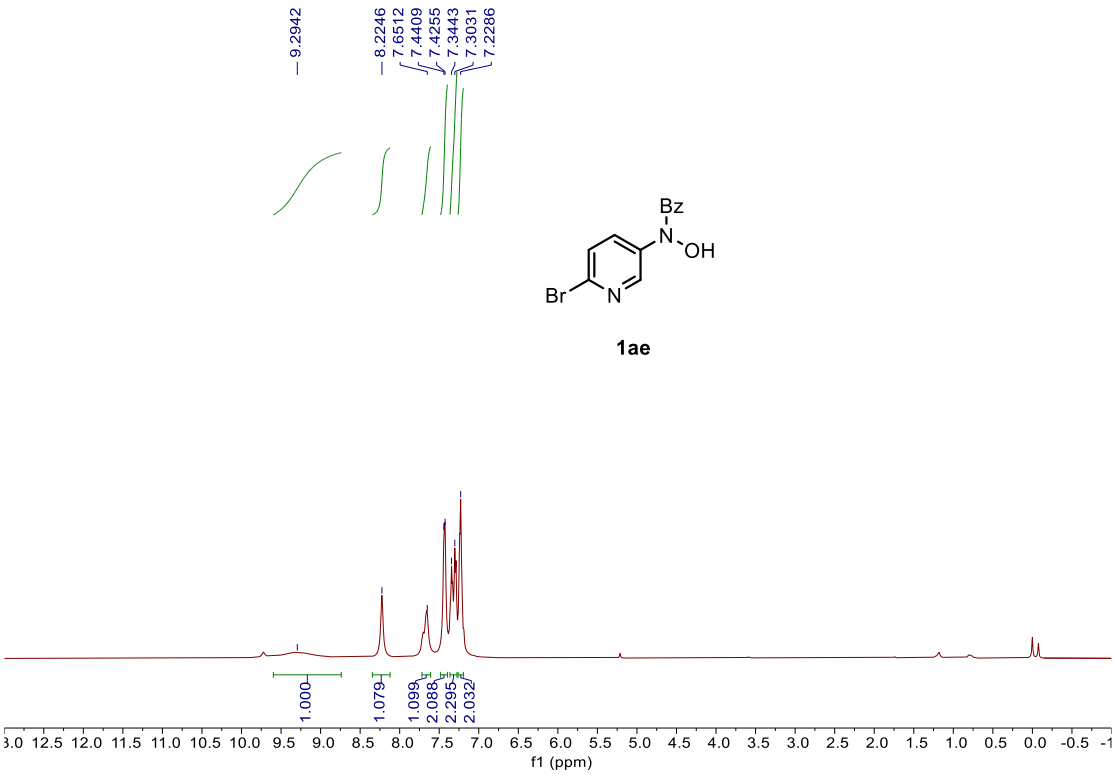
^{1}H NMR of Compound 1ac (500 MHz, $CDCl_3$)



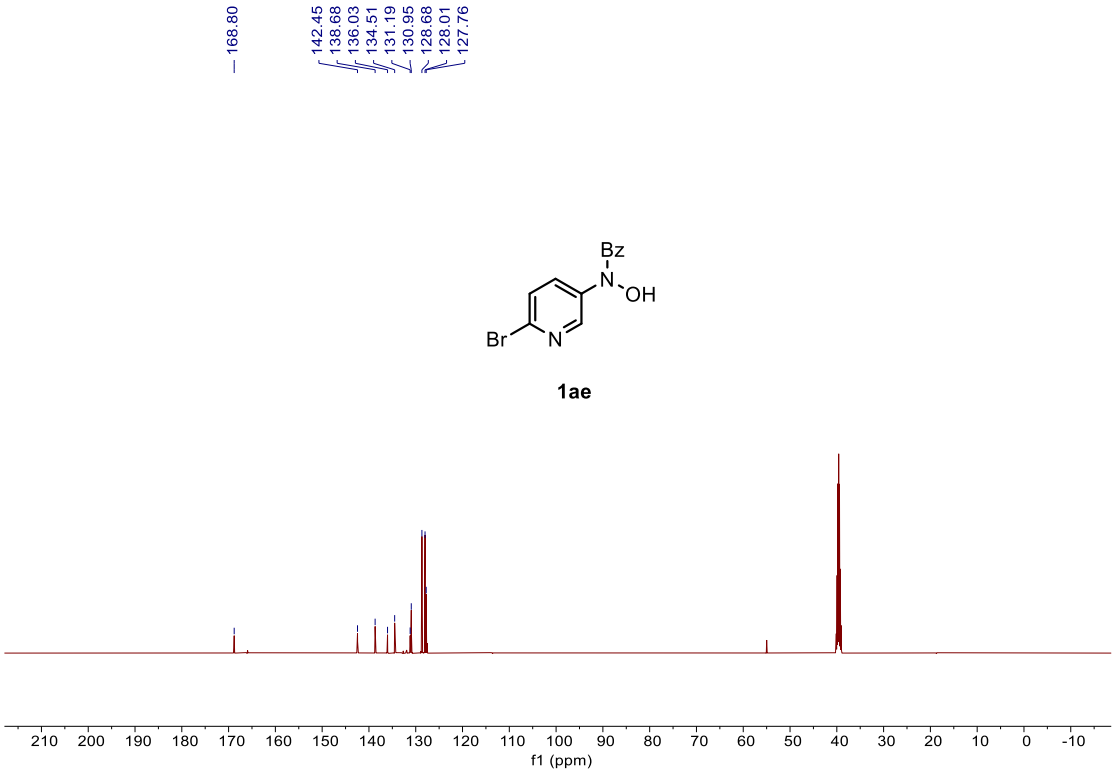
^{13}C NMR of Compound 1ac (126 MHz, $CDCl_3$)



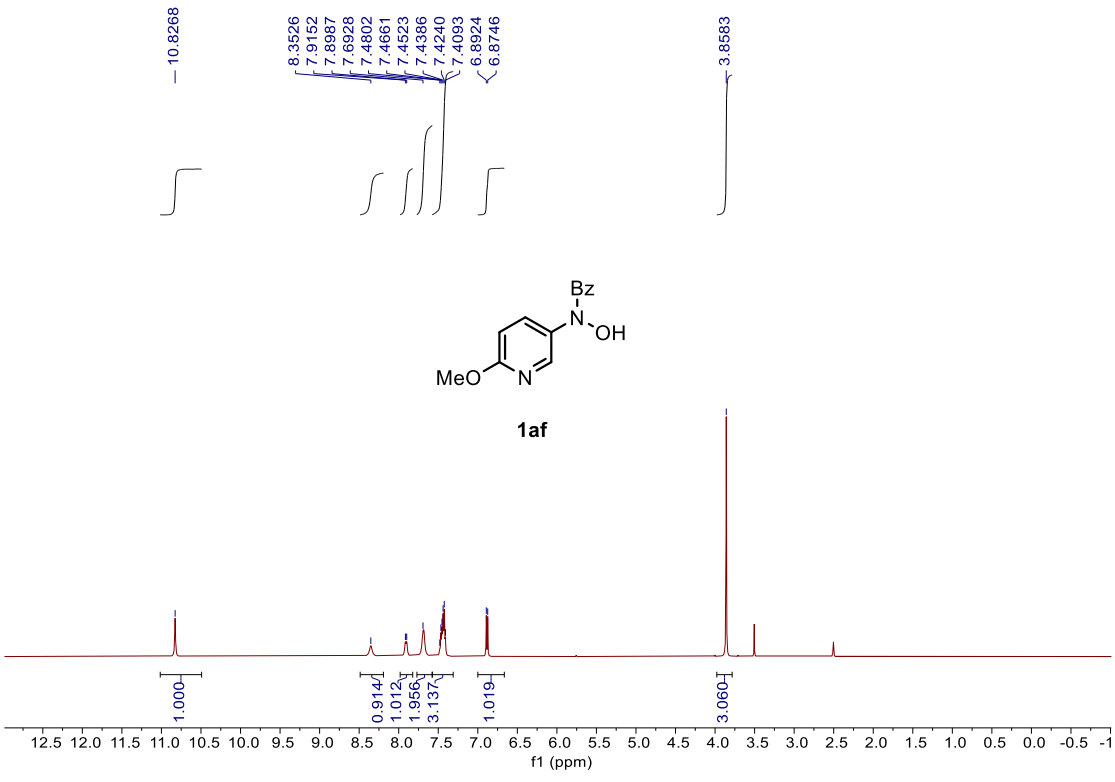
^{1}H NMR of Compound 1ae (500 MHz, $CDCl_3$)



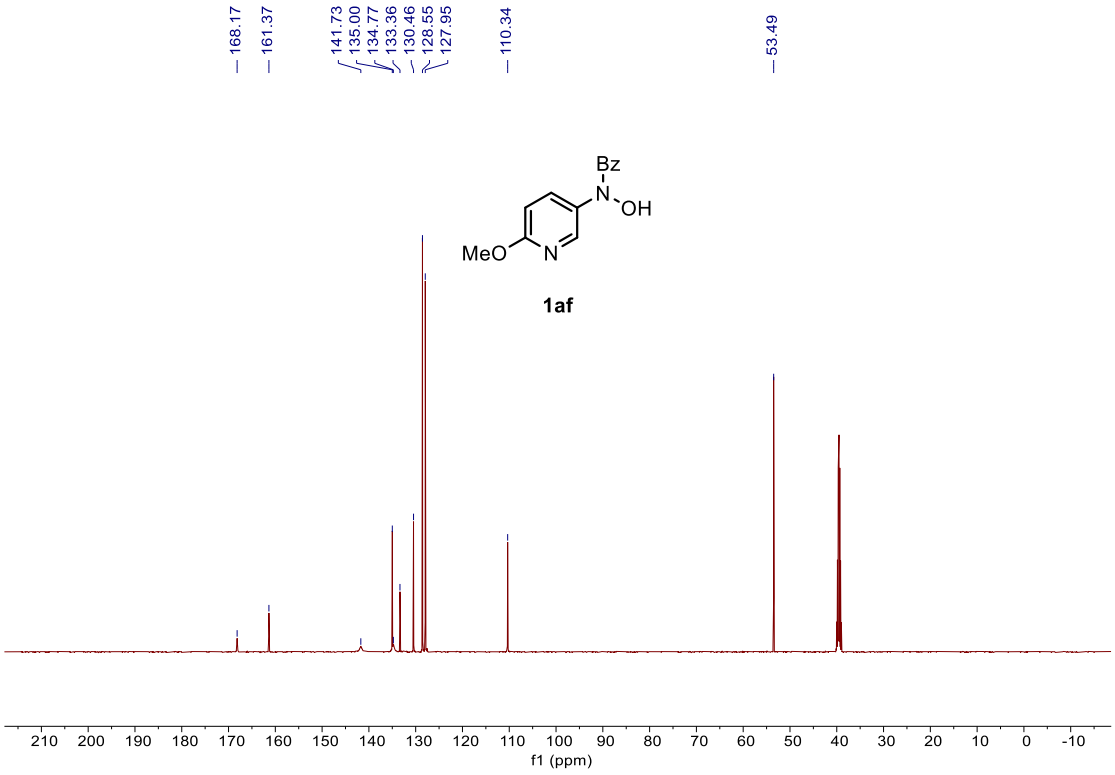
^{13}C NMR of Compound 1ae (126 MHz, DMSO-d_6)



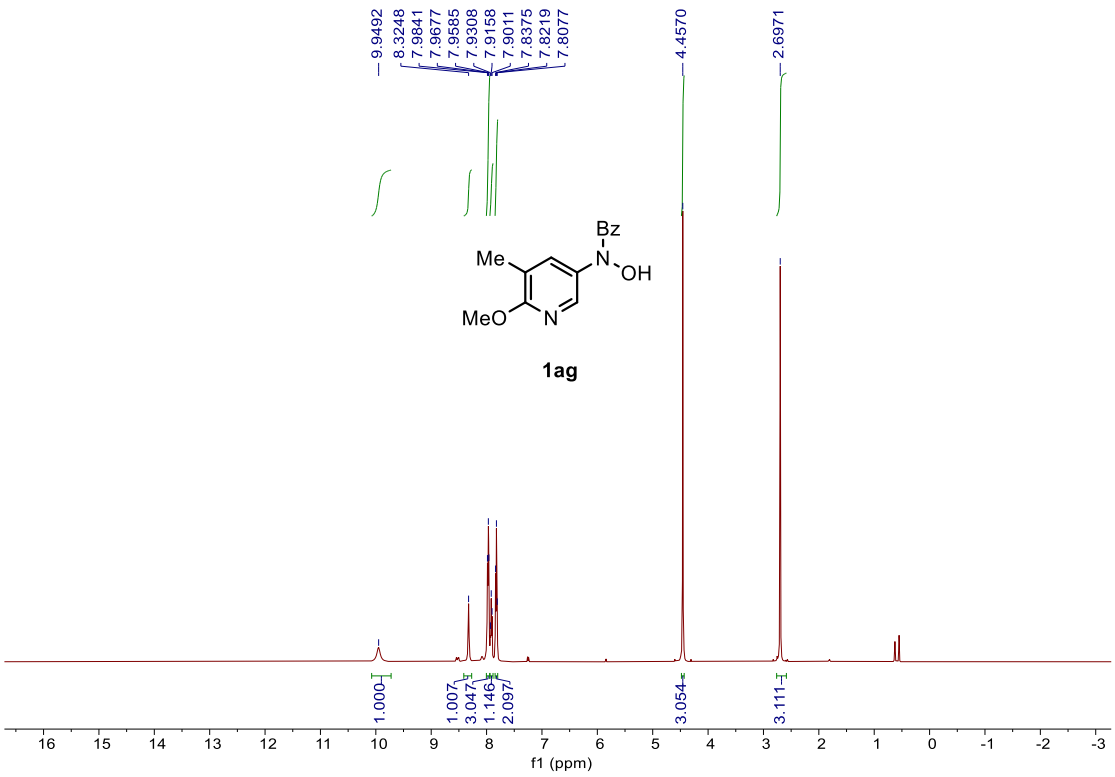
^{1}H NMR of Compound 1af (500 MHz, DMSO-d_6)



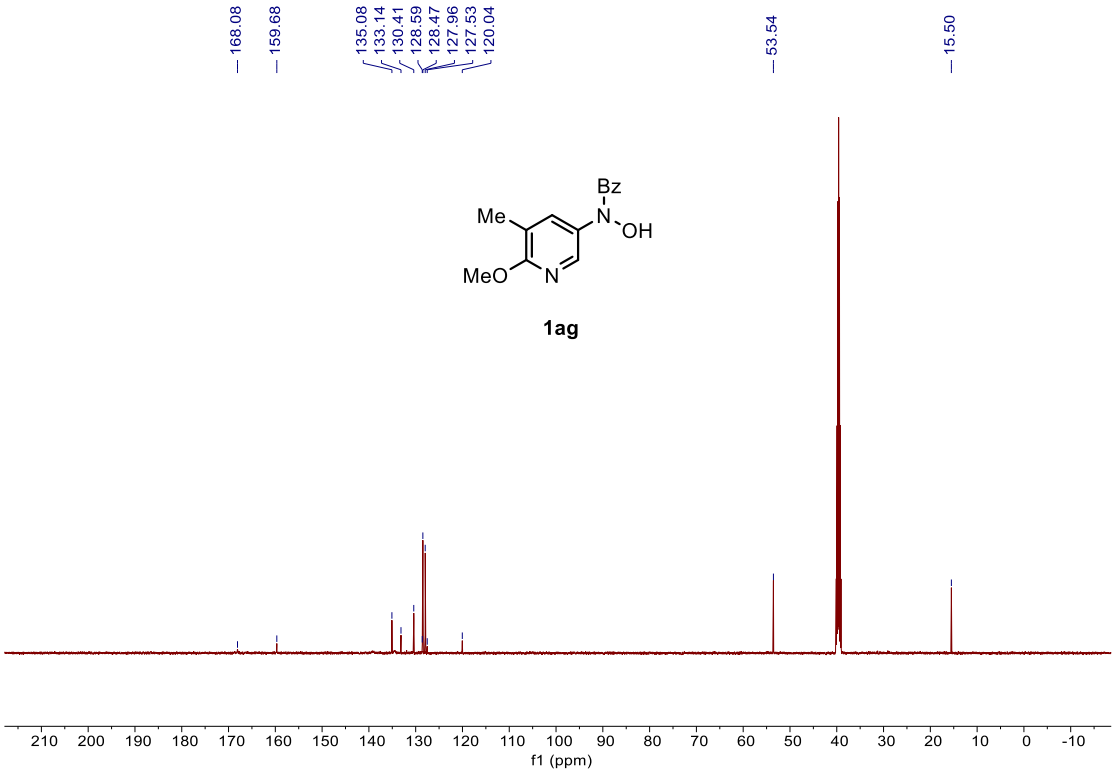
^{13}C NMR of Compound 1ae (126 MHz, DMSO-d_6)



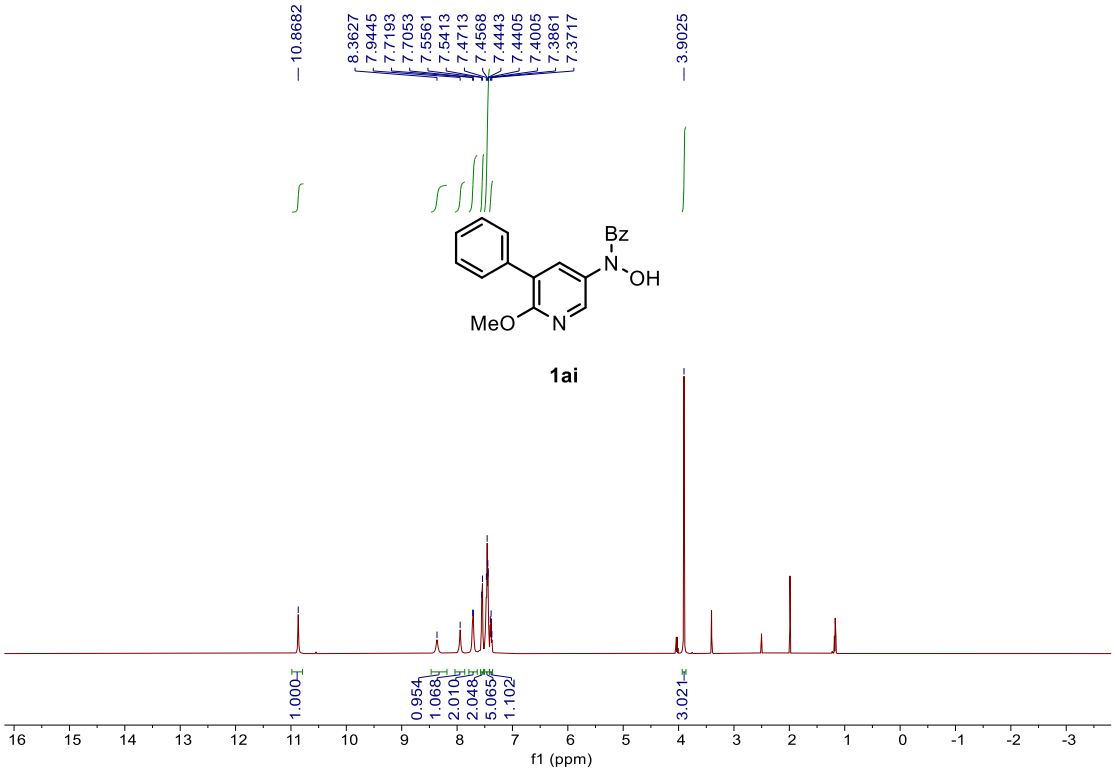
^{1}H NMR of Compound 1ag (500 MHz, $CDCl_3$)



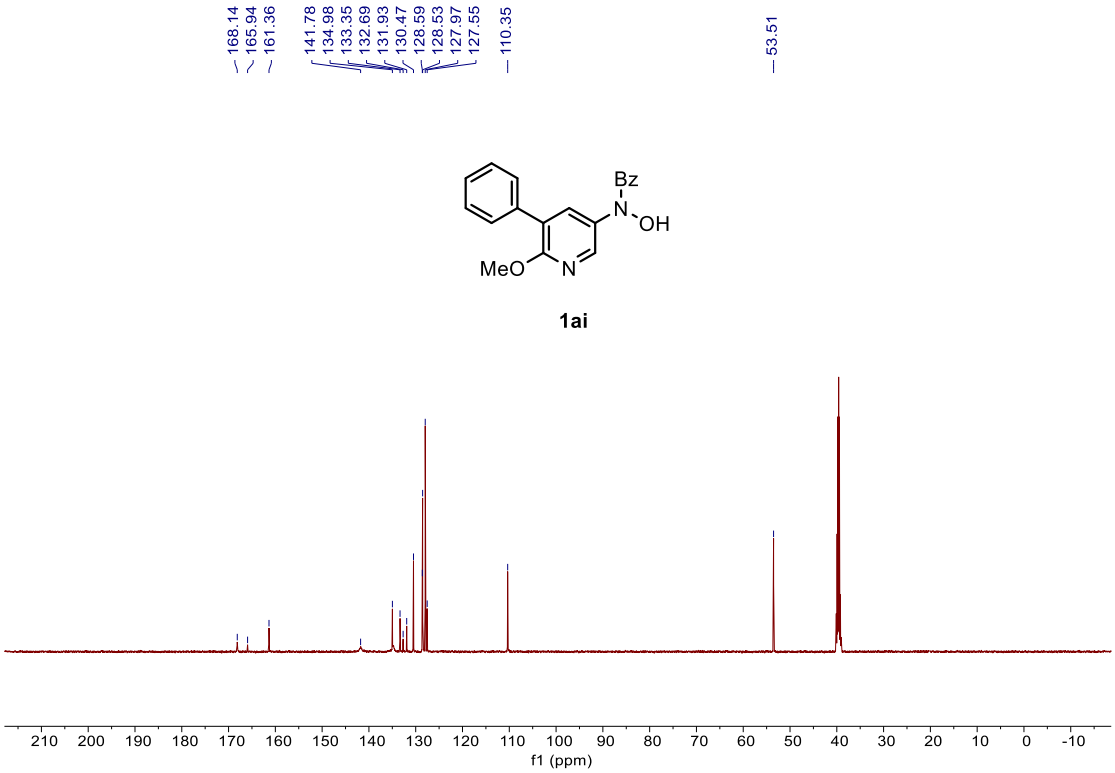
^{13}C NMR of Compound 1ag (126 MHz, DMSO-d_6)



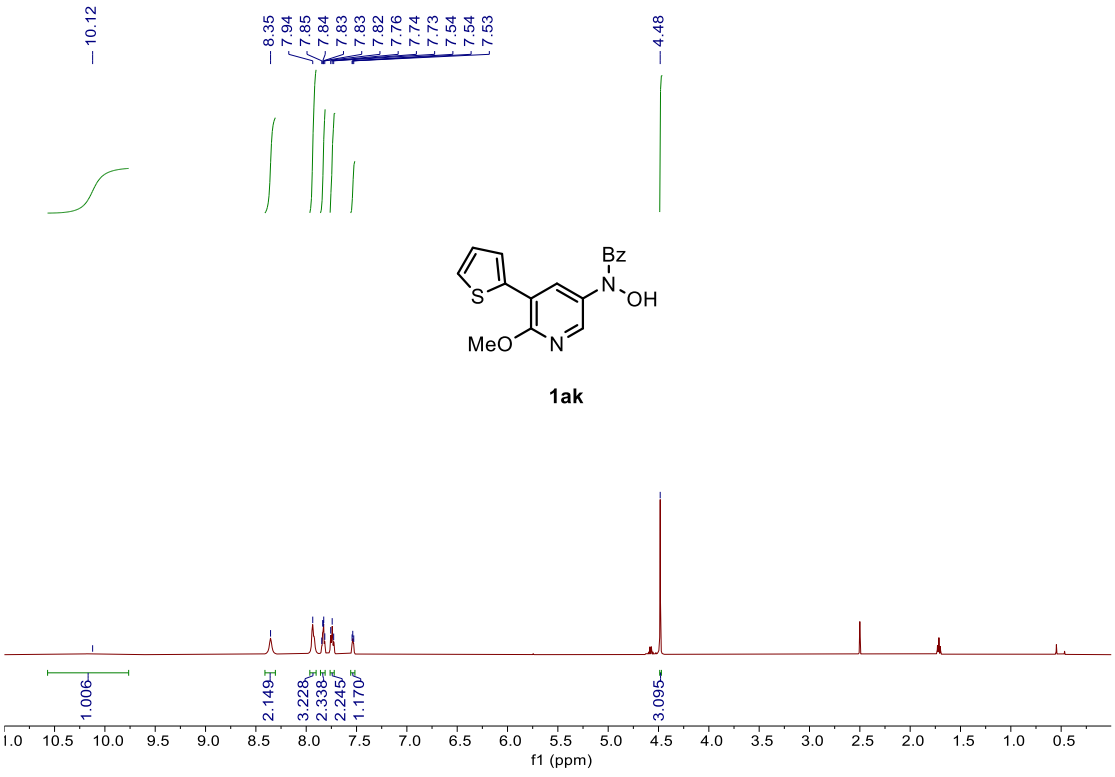
^{1}H NMR of Compound 1ai (500 MHz, DMSO-d_6)



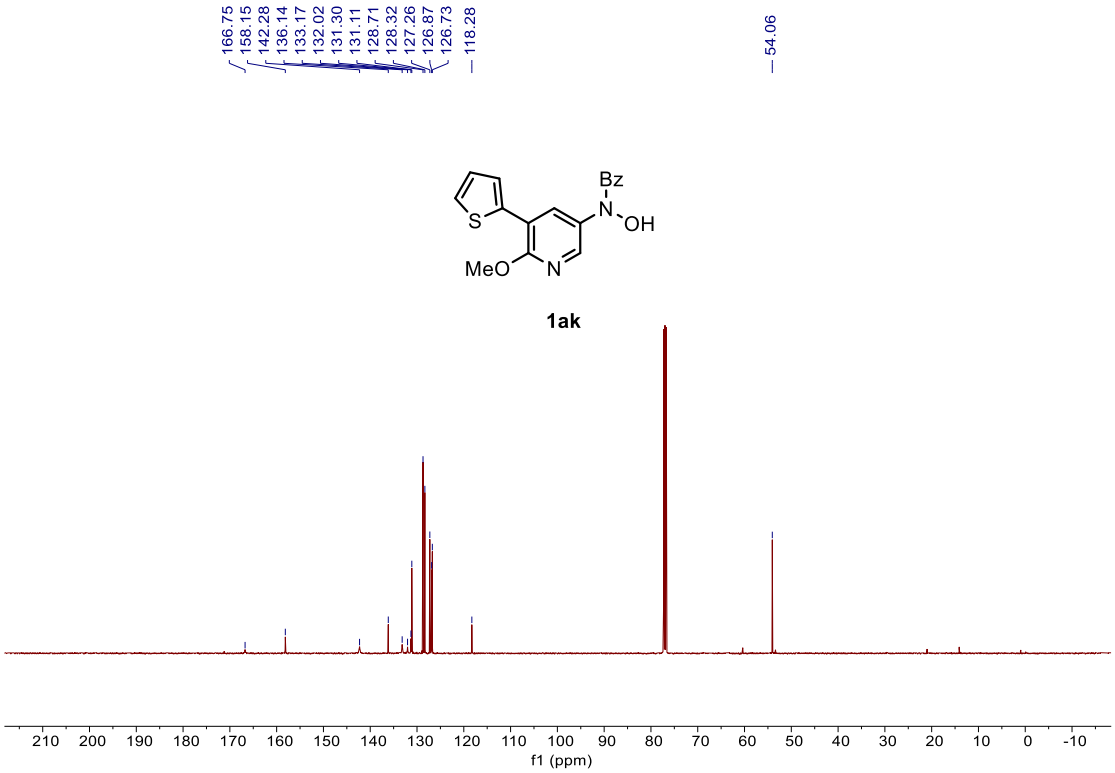
^{13}C NMR of Compound 1ai (126 MHz, DMSO-d_6)



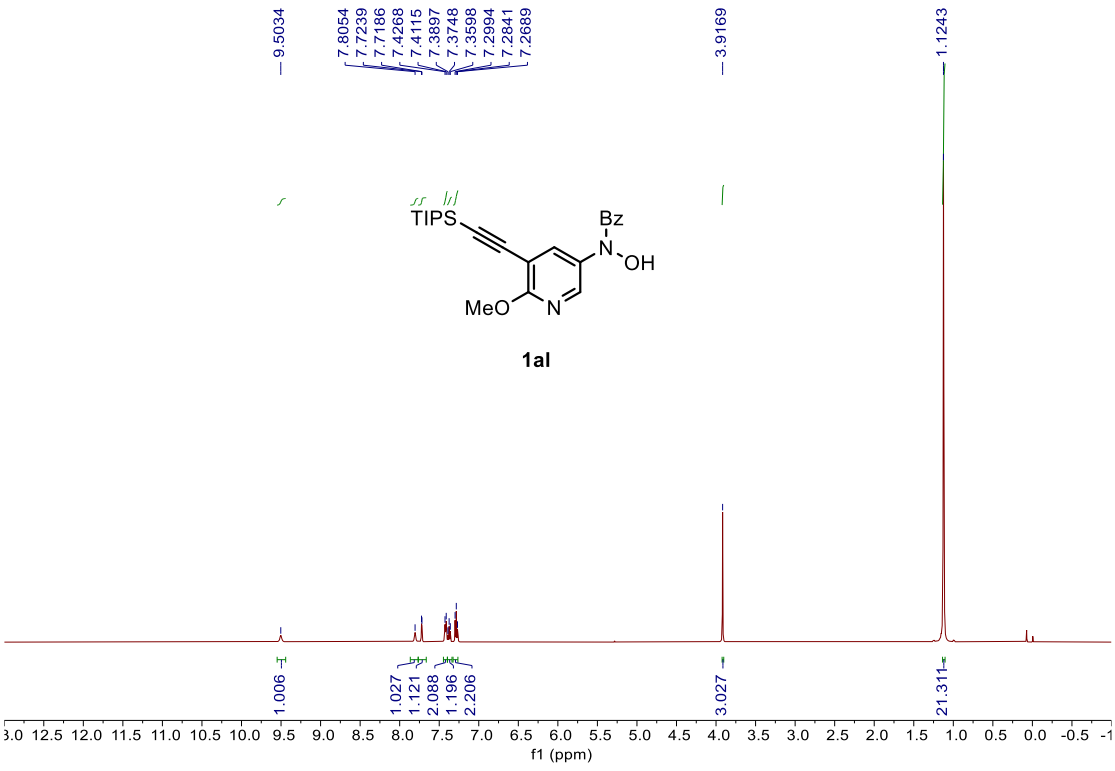
^{1}H NMR of Compound 1ak (500 MHz, DMSO-d_6)



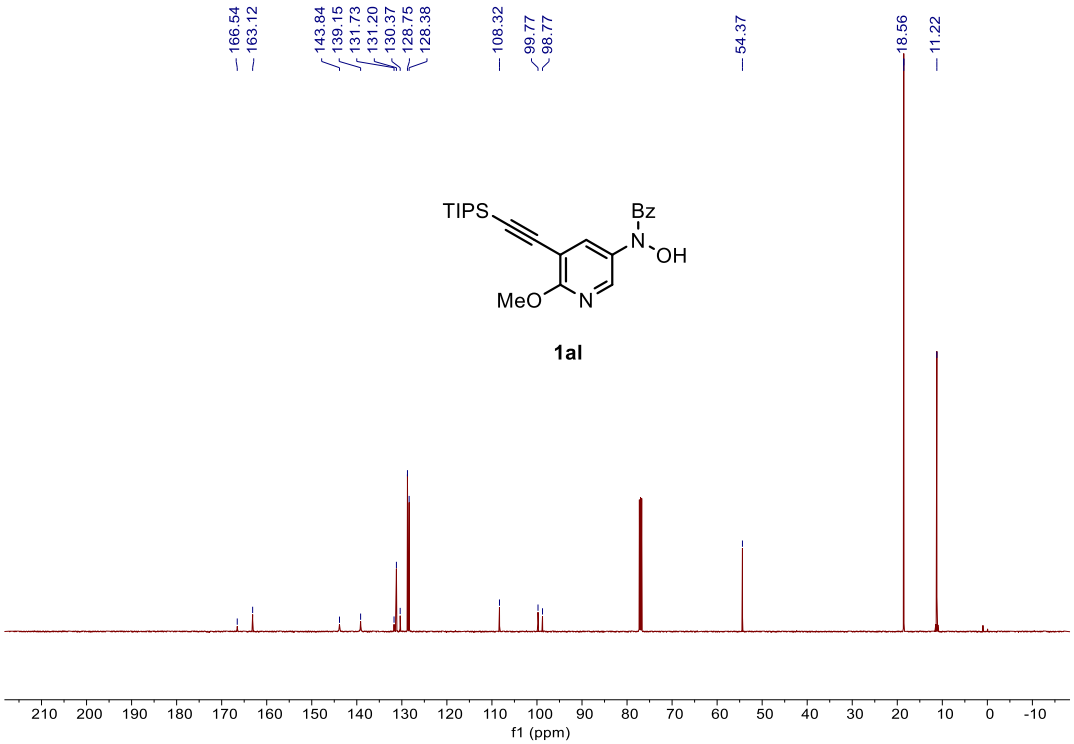
^{13}C NMR of Compound 1ak (126 MHz, $CDCl_3$)



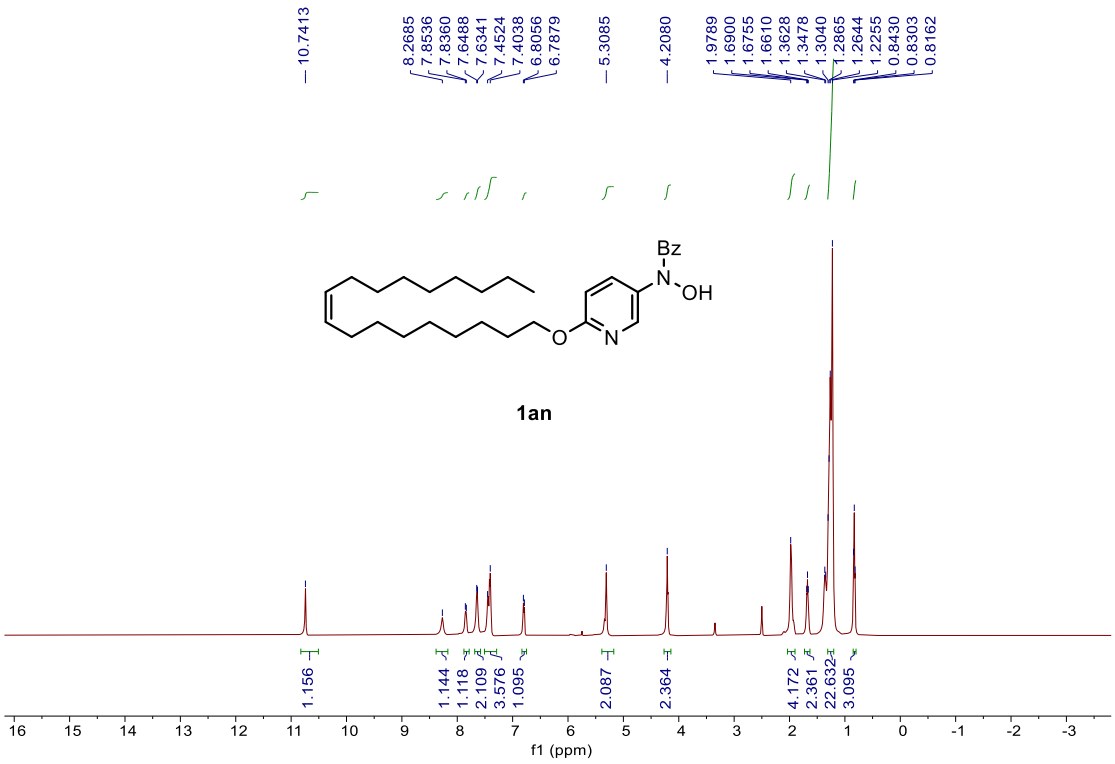
^{1}H NMR of Compound 1ai (500 MHz, $CDCl_3$)



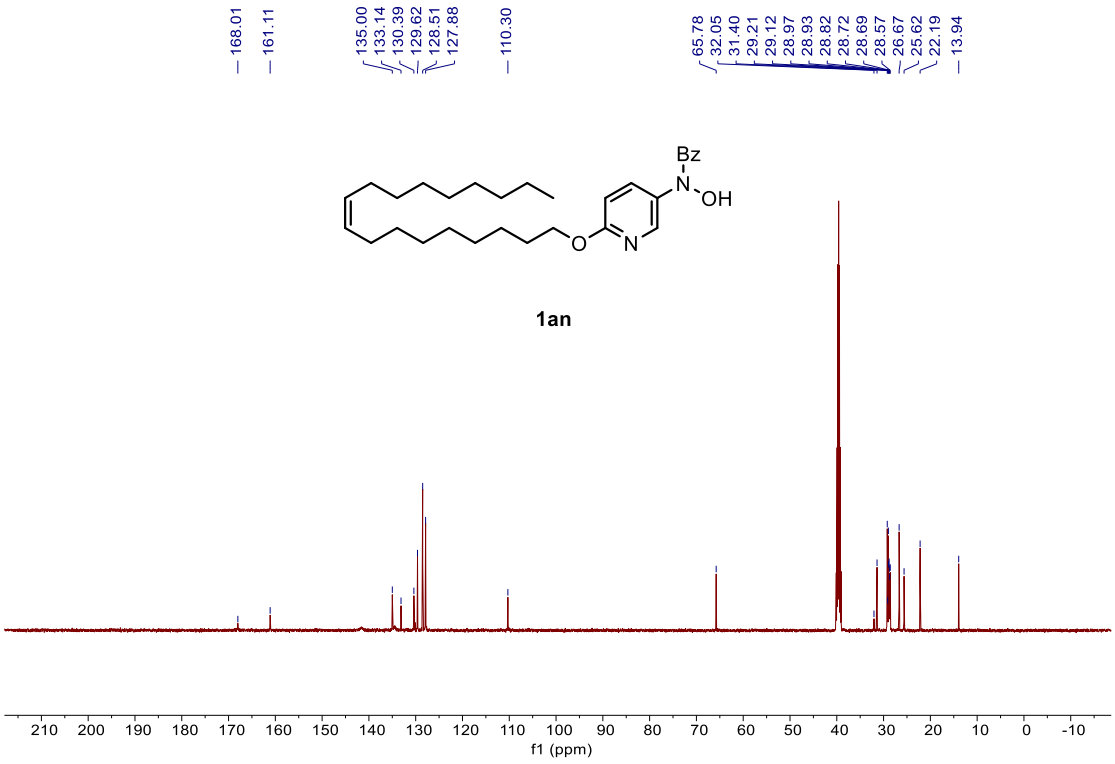
^{13}C NMR of Compound 1ai (126 MHz, $CDCl_3$)



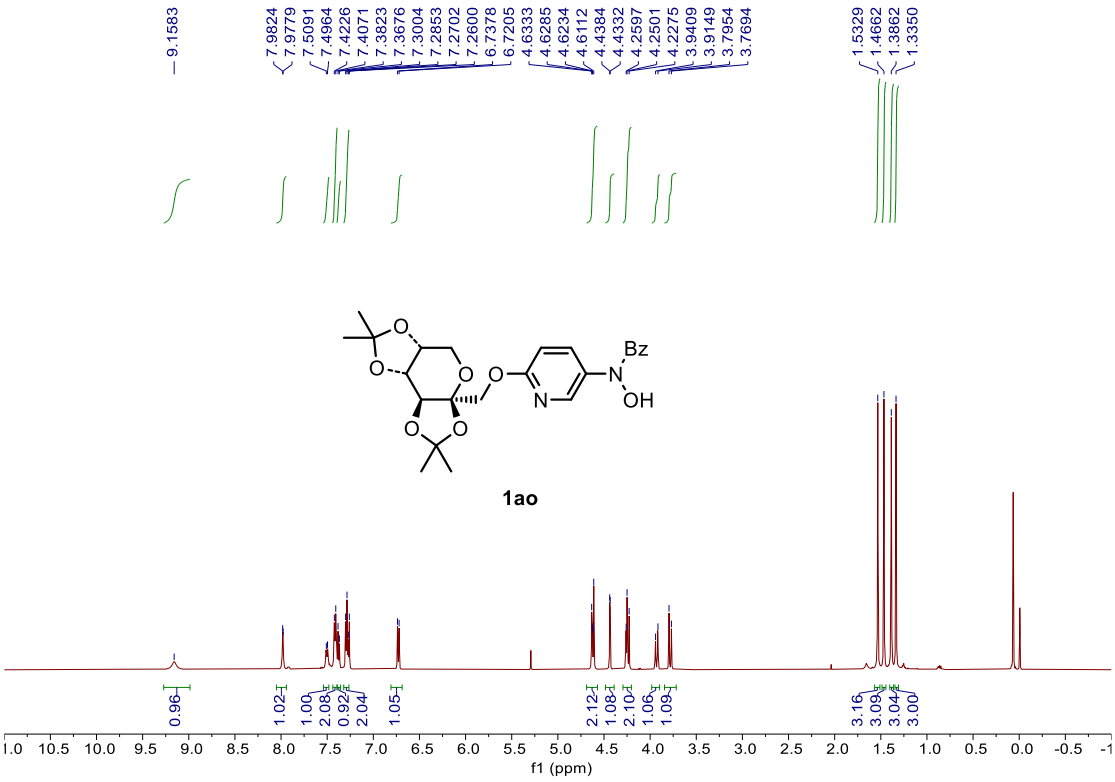
^{1}H NMR of Compound 1an (500 MHz, DMSO-d_6)



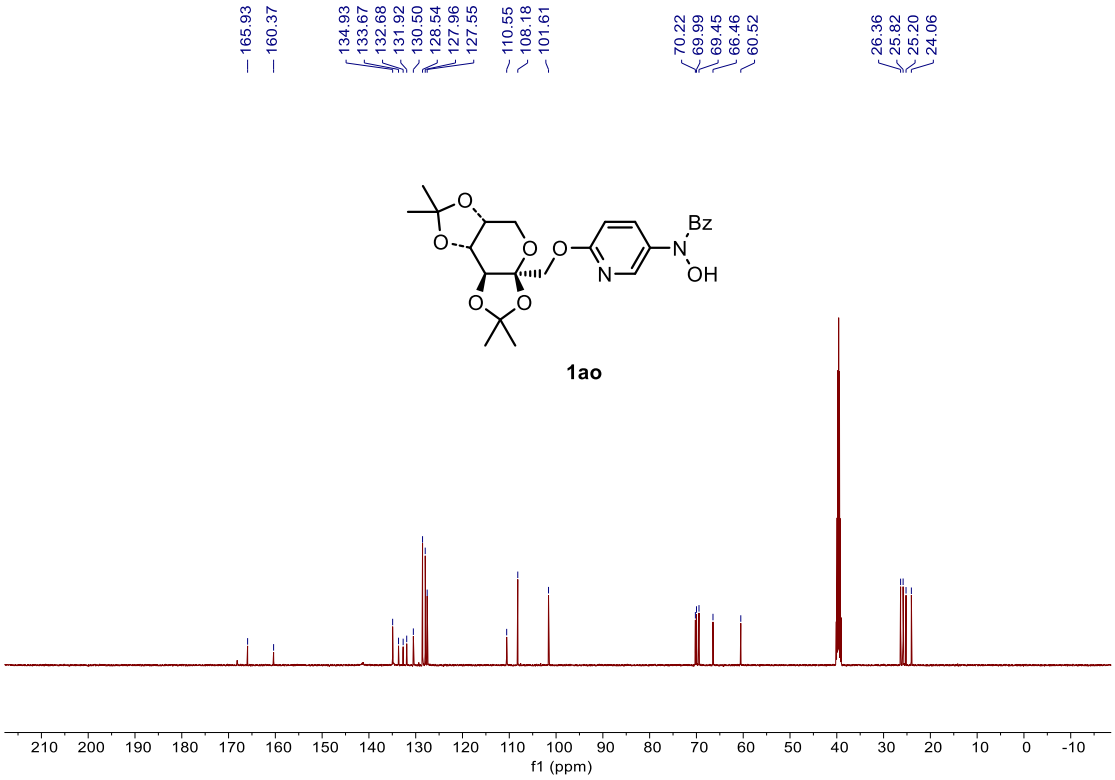
^{13}C NMR of Compound 1an (126 MHz, DMSO-d_6)



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

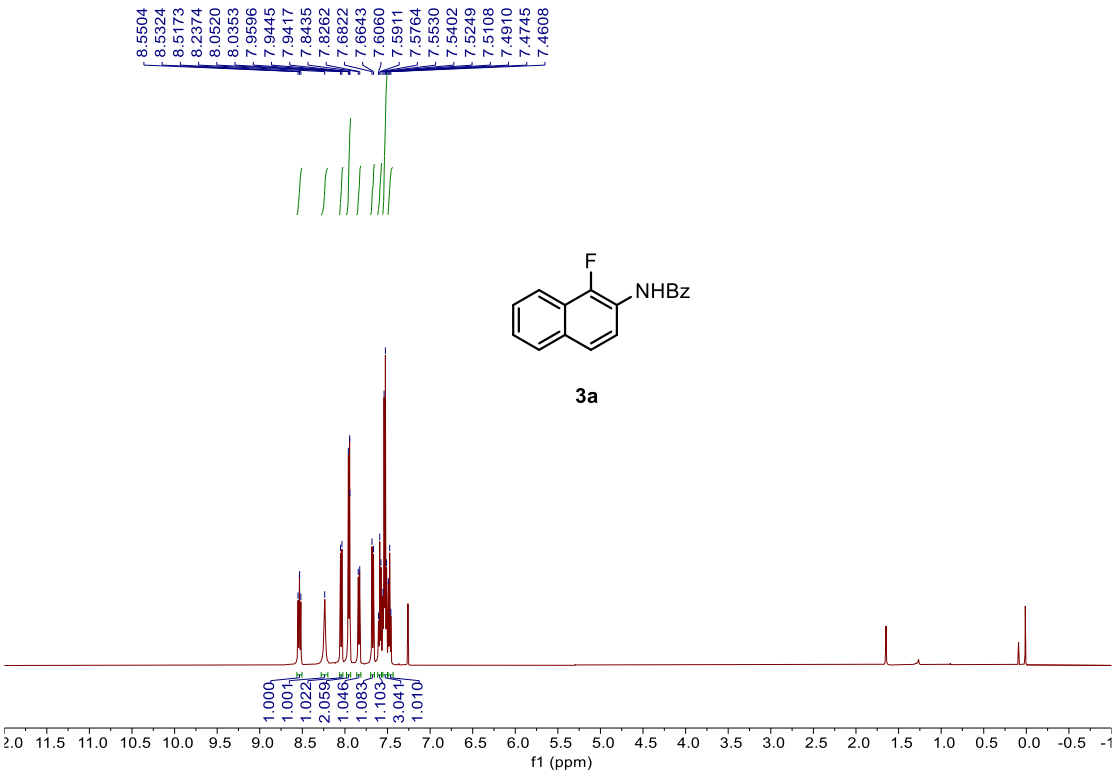


^{13}C NMR of Compound 1ao (126 MHz, DMSO-d_6)

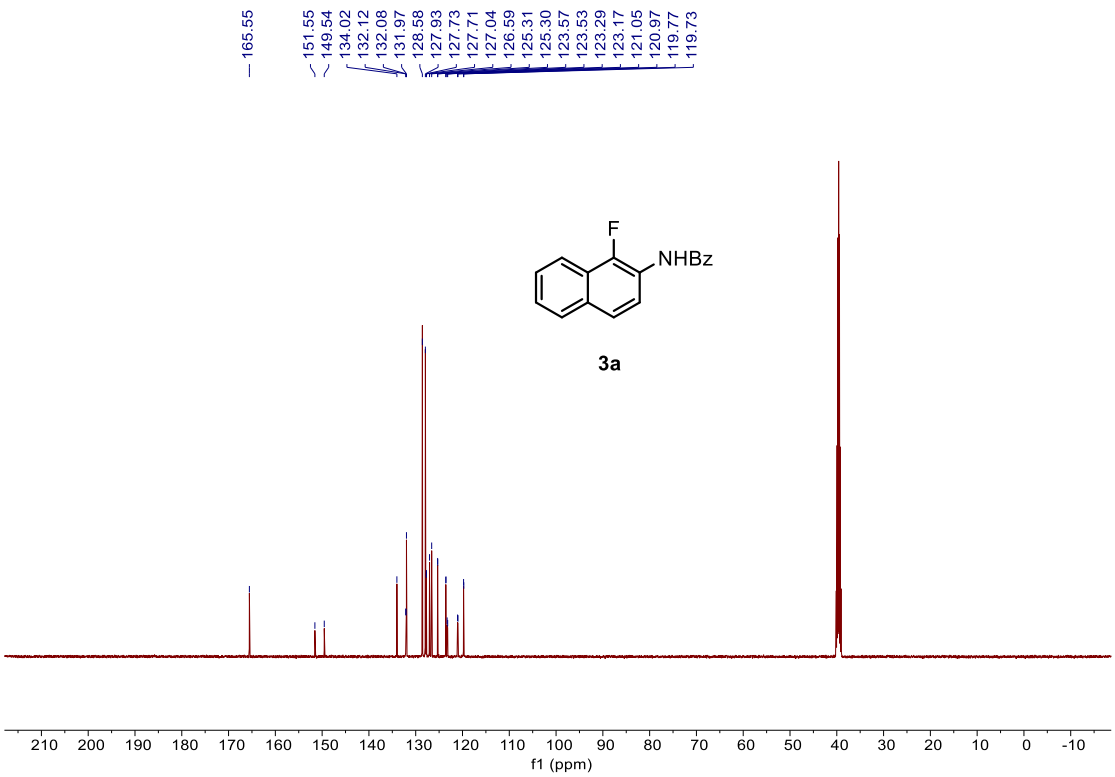


NMR spectra for the products

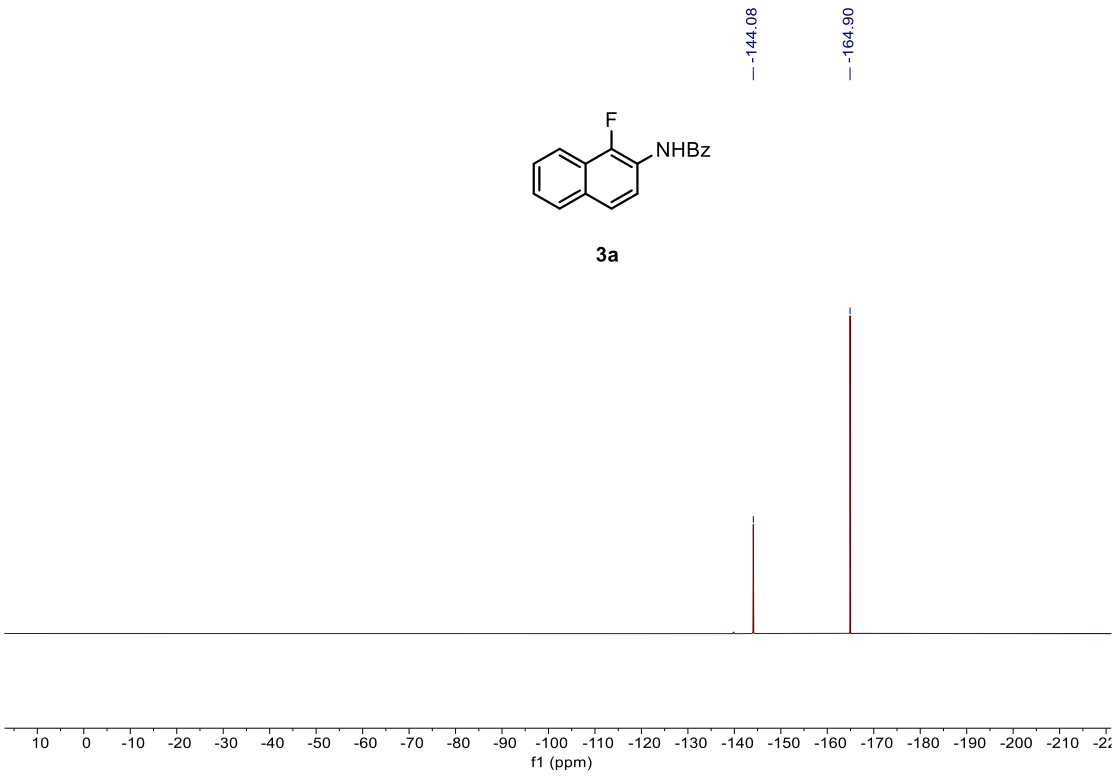
(1) ^{1}H NMR of Compound 3a (500 MHz, $CDCl_3$)



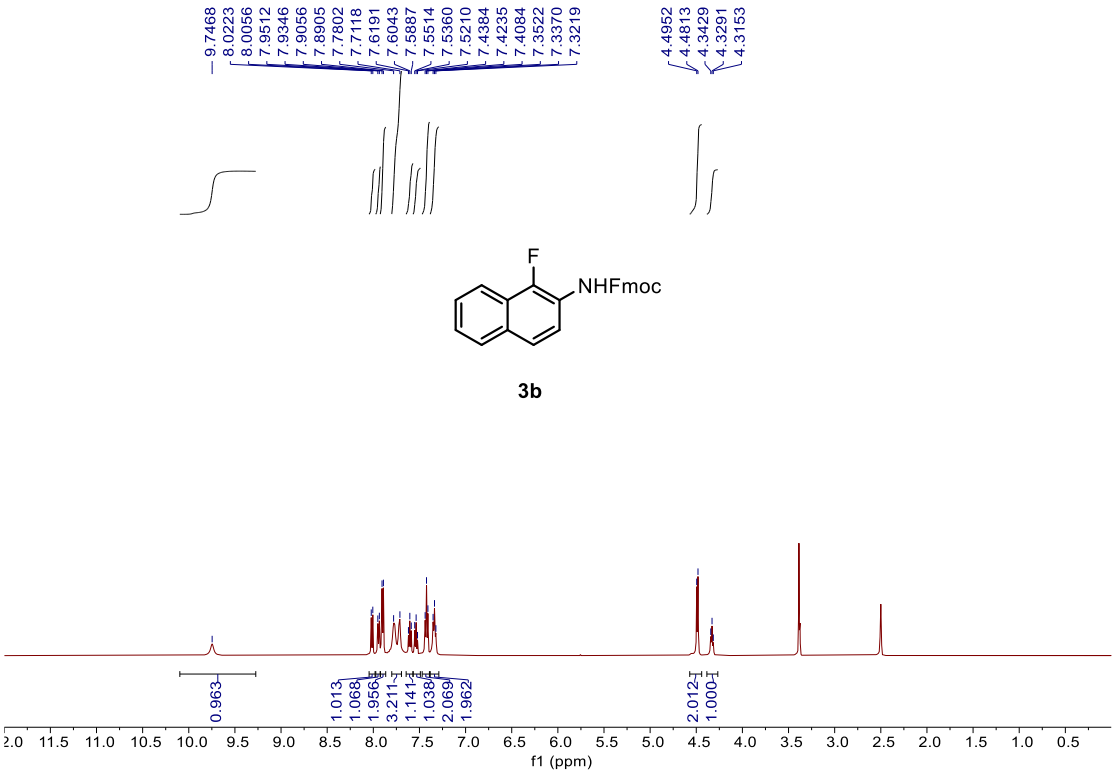
^{13}C NMR of Compound 3a (126 MHz, DMSO-d_6)



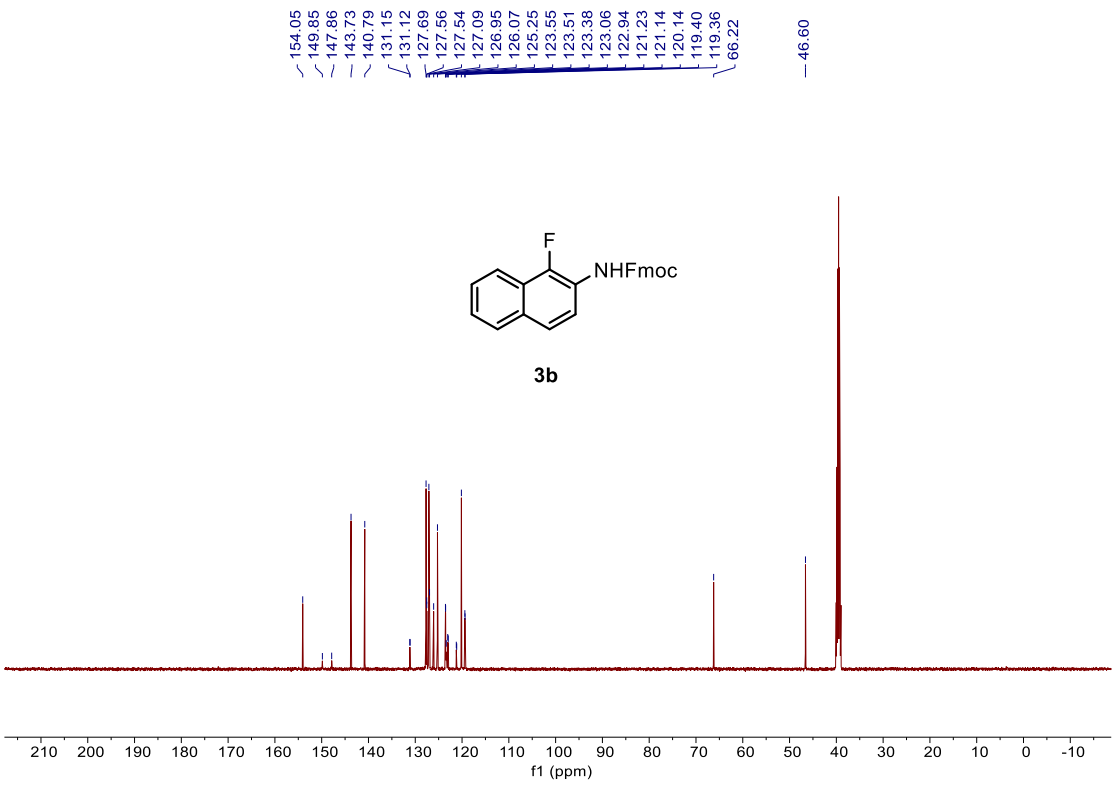
^{19}F NMR of Compound 3a (471 MHz, $CDCl_3$)



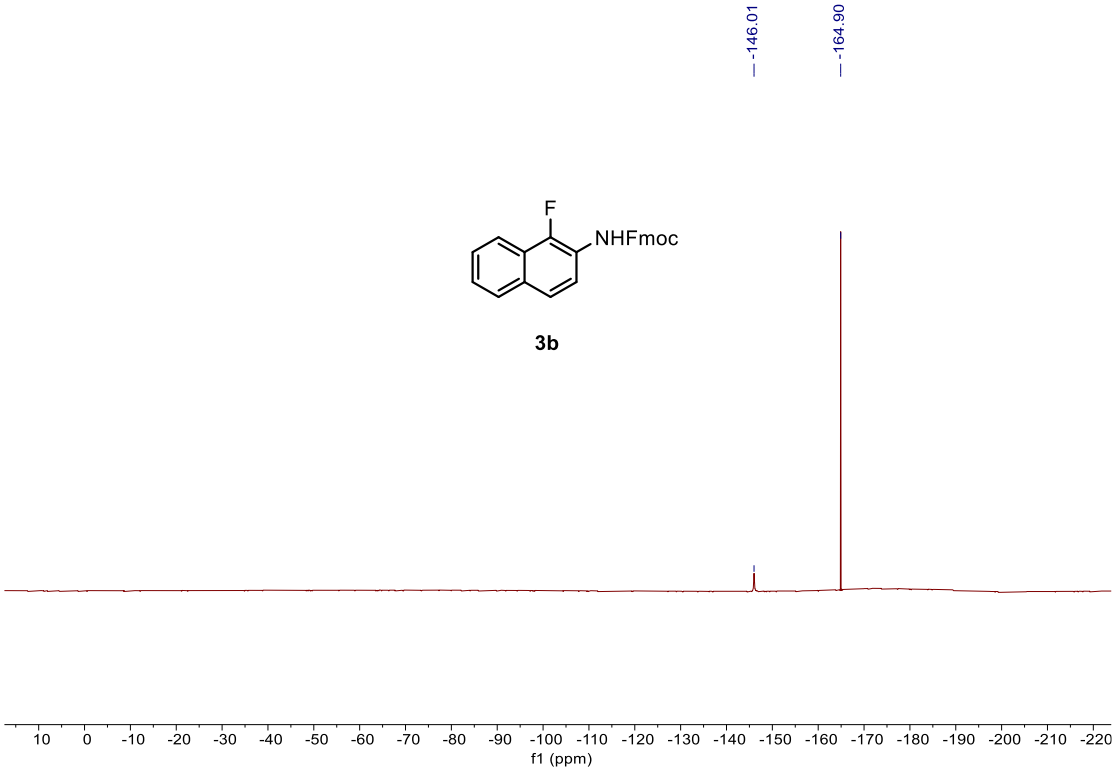
(2) ^{1}H NMR of Compound 3b (500 MHz, DMSO-d_6)



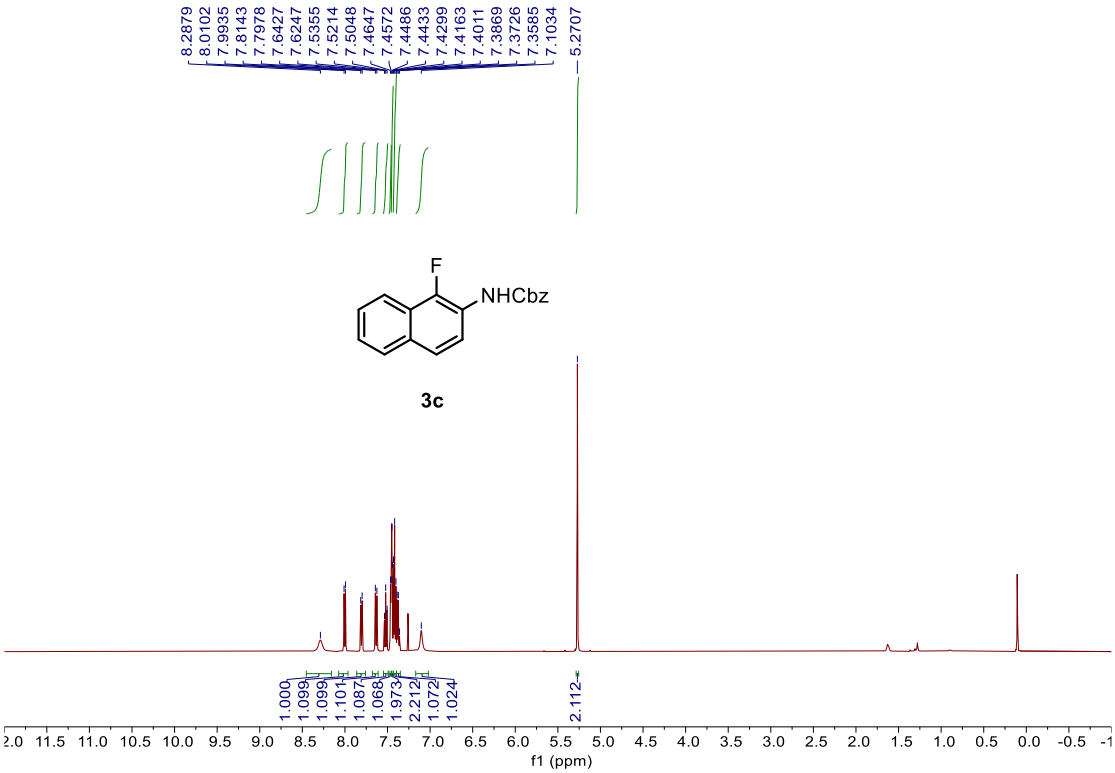
^{13}C NMR of Compound 3b (126 MHz, DMSO-d_6)



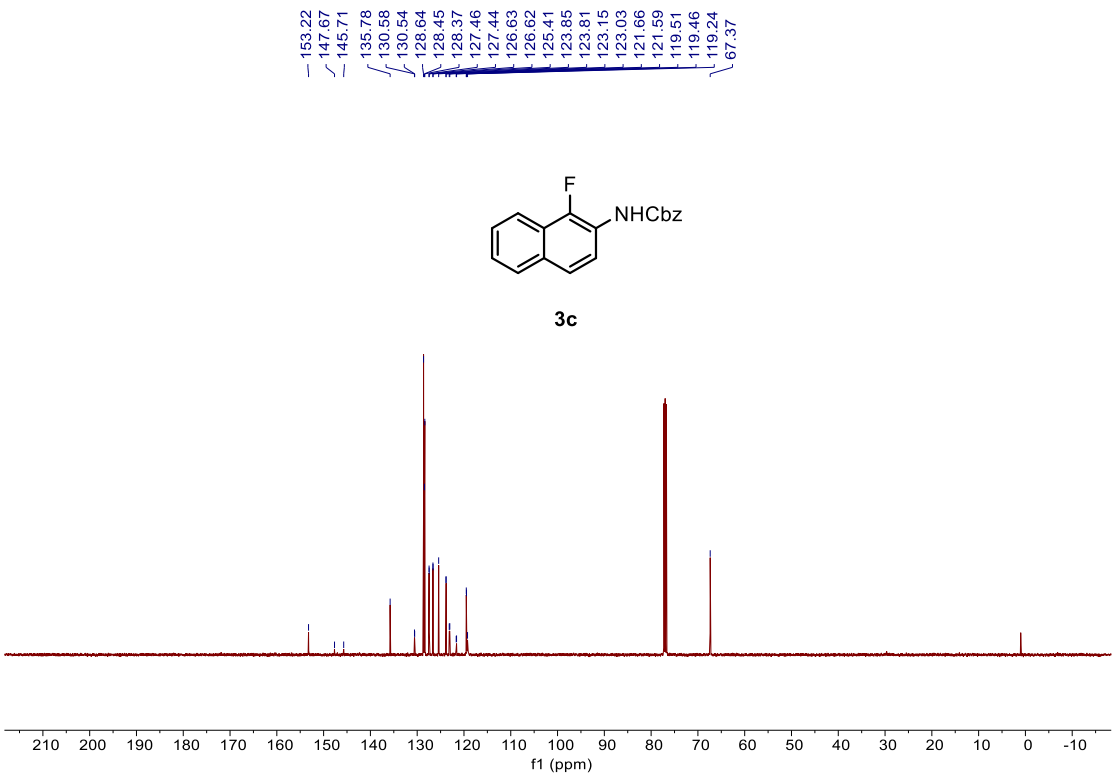
^{19}F NMR of Compound 3b (471 MHz, $CDCl_3$)



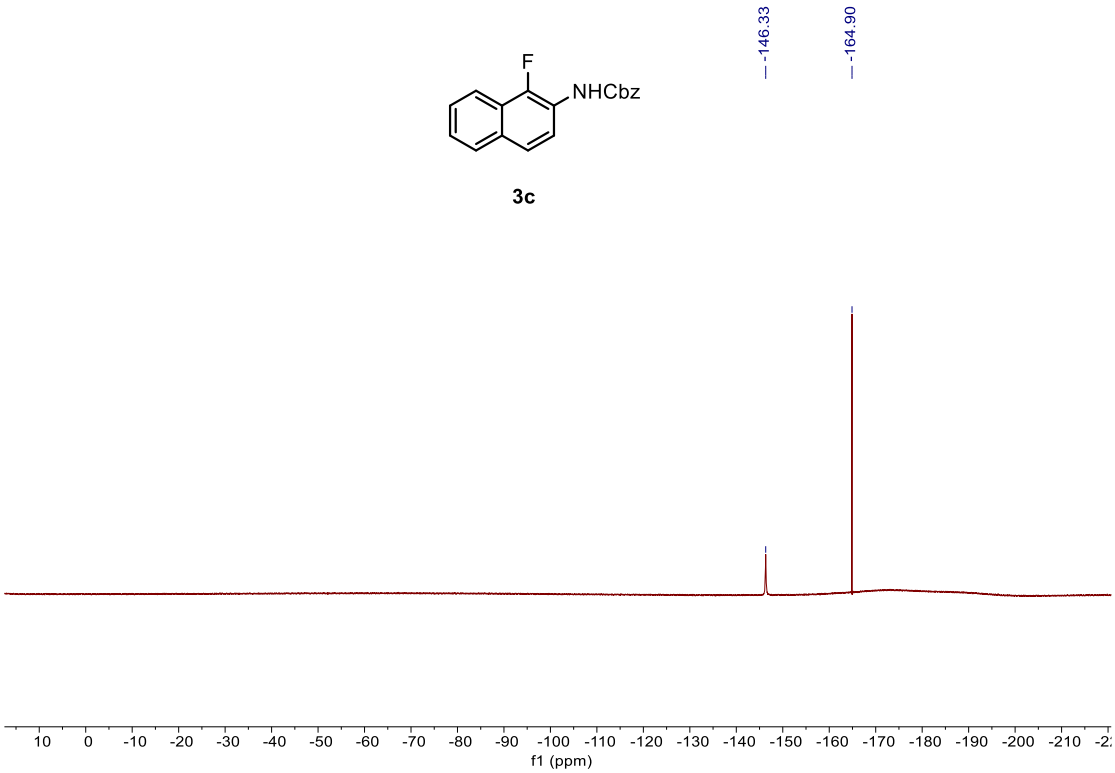
(3) ^{1}H NMR of Compound 3c (500 MHz, $CDCl_3$)



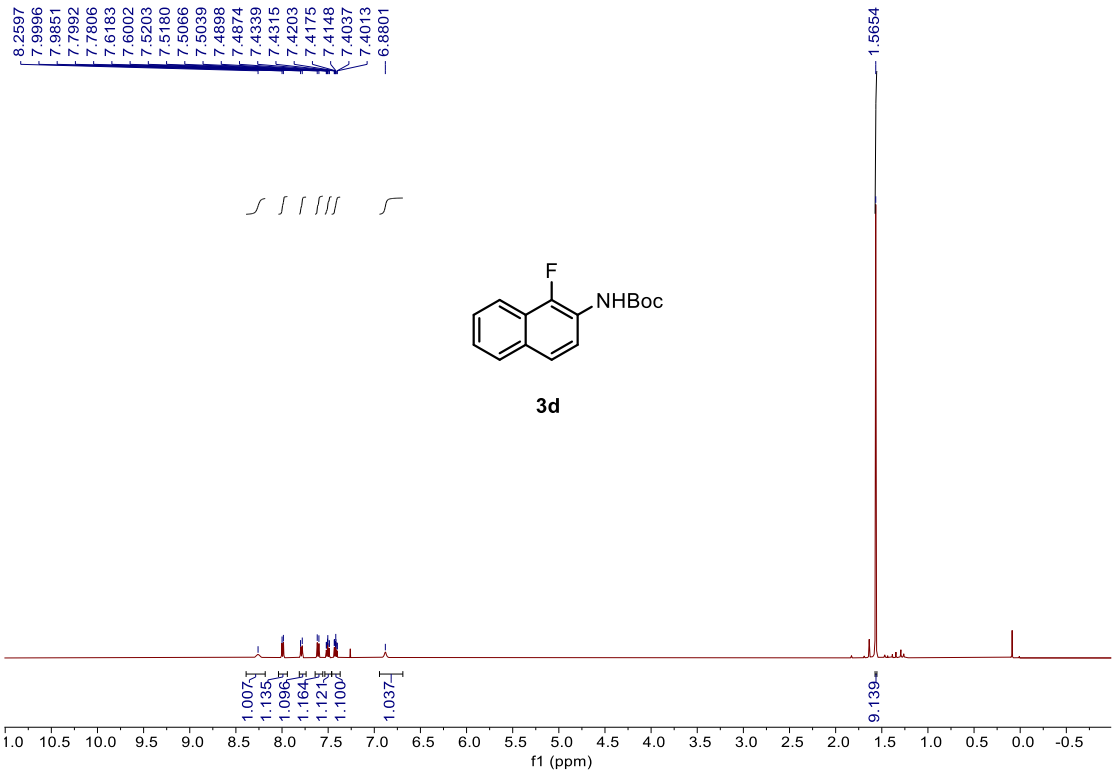
^{13}C NMR of Compound 3c (126 MHz, DMSO-d_6)



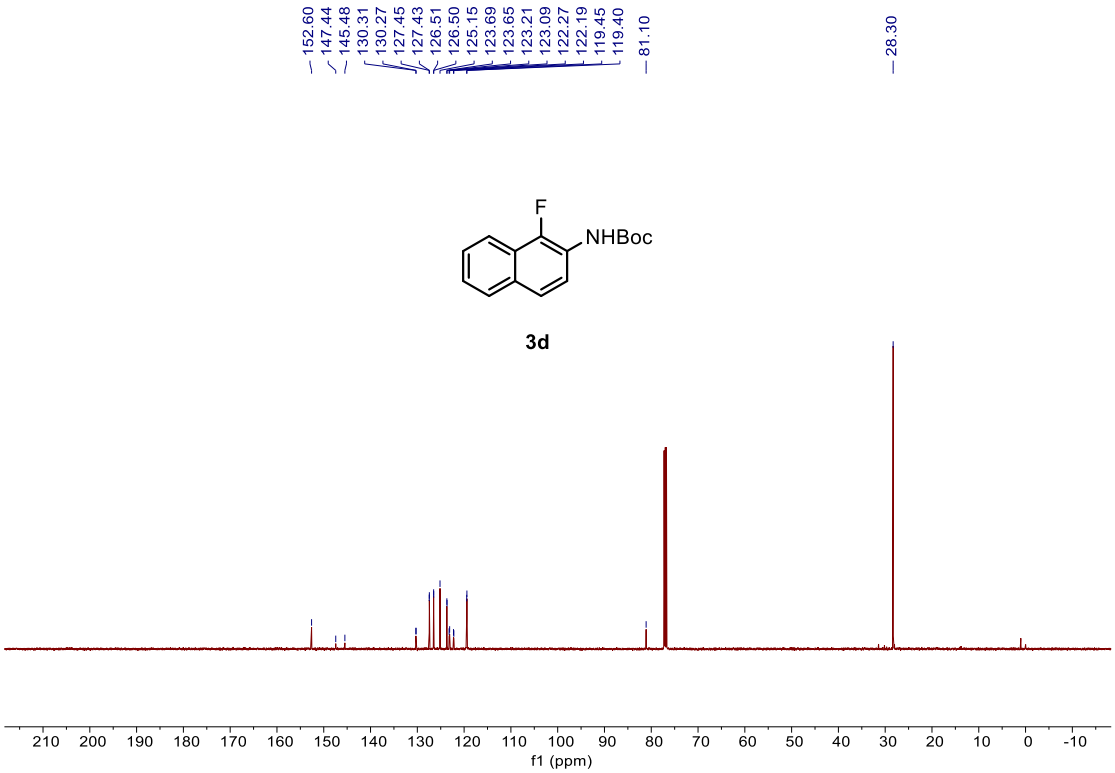
^{19}F NMR of Compound 3c (471 MHz, $CDCl_3$)



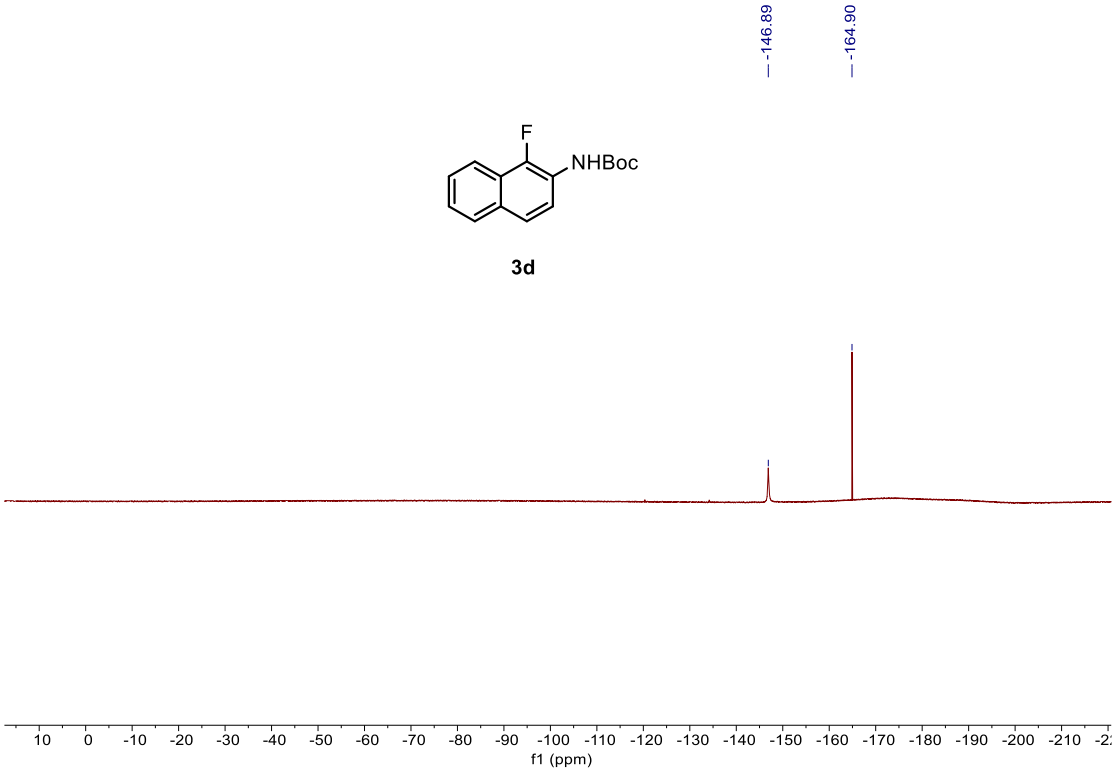
(4) ^{1}H NMR of Compound 3d (500 MHz, $CDCl_3$)



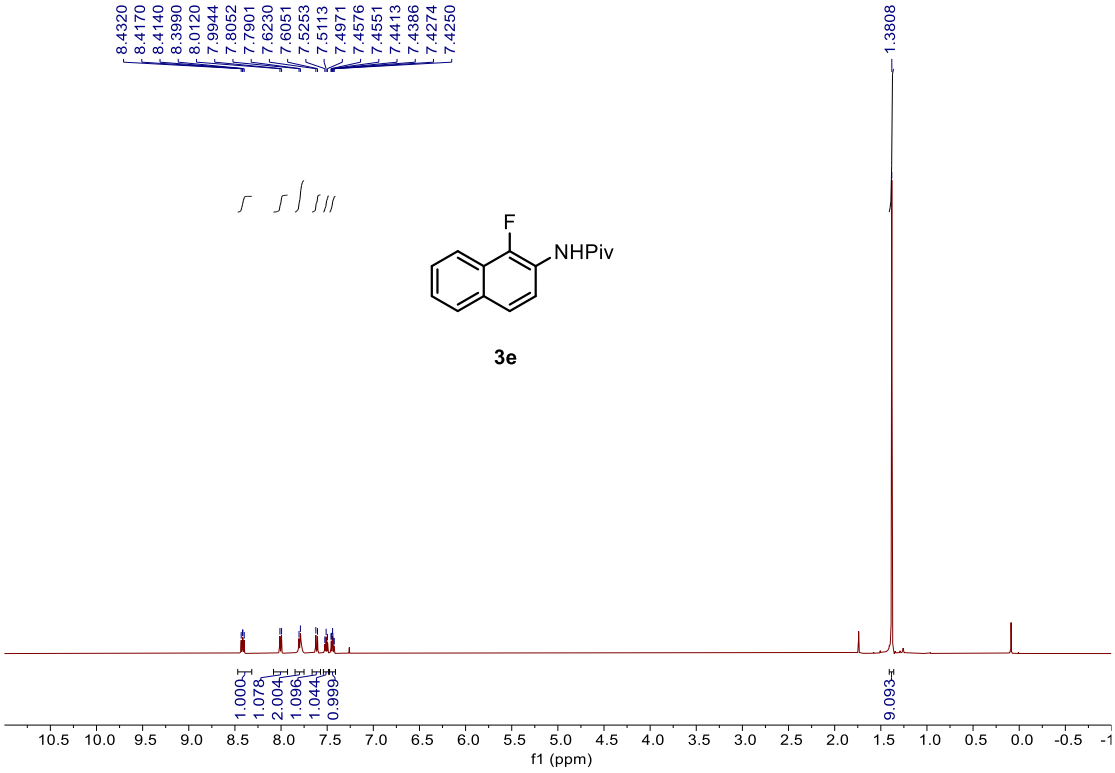
^{13}C NMR of Compound 3d (126 MHz, $CDCl_3$)



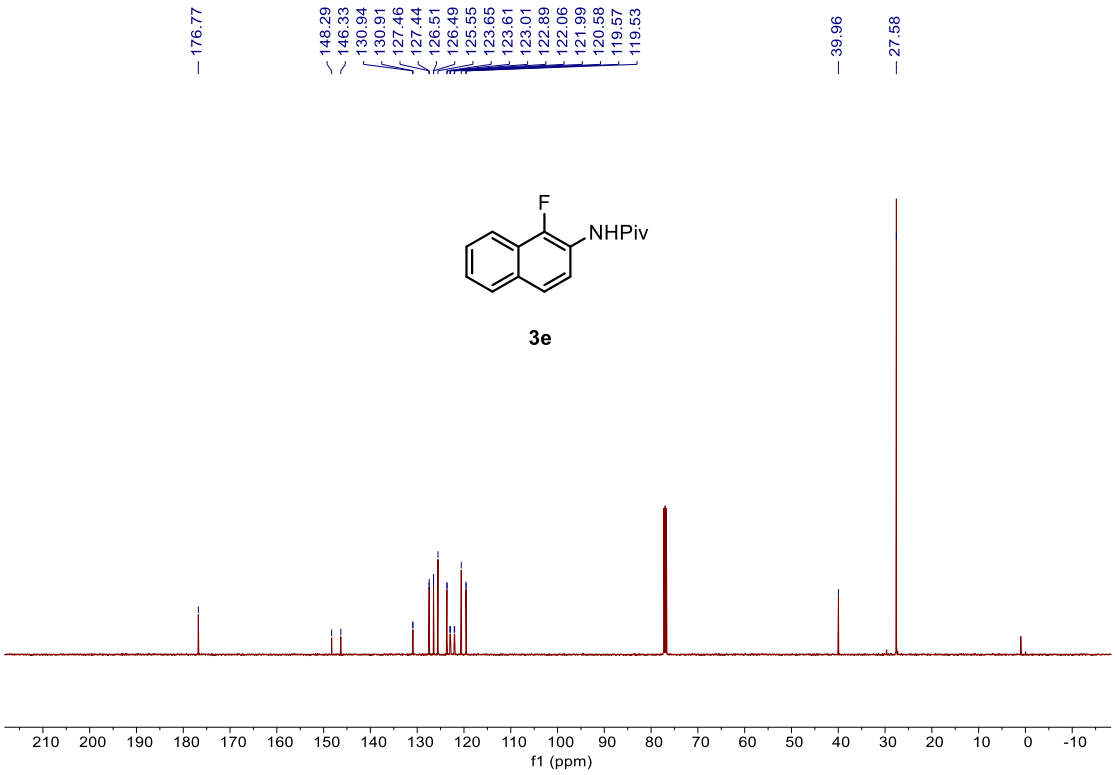
^{19}F NMR of Compound 3d (471 MHz, $CDCl_3$)



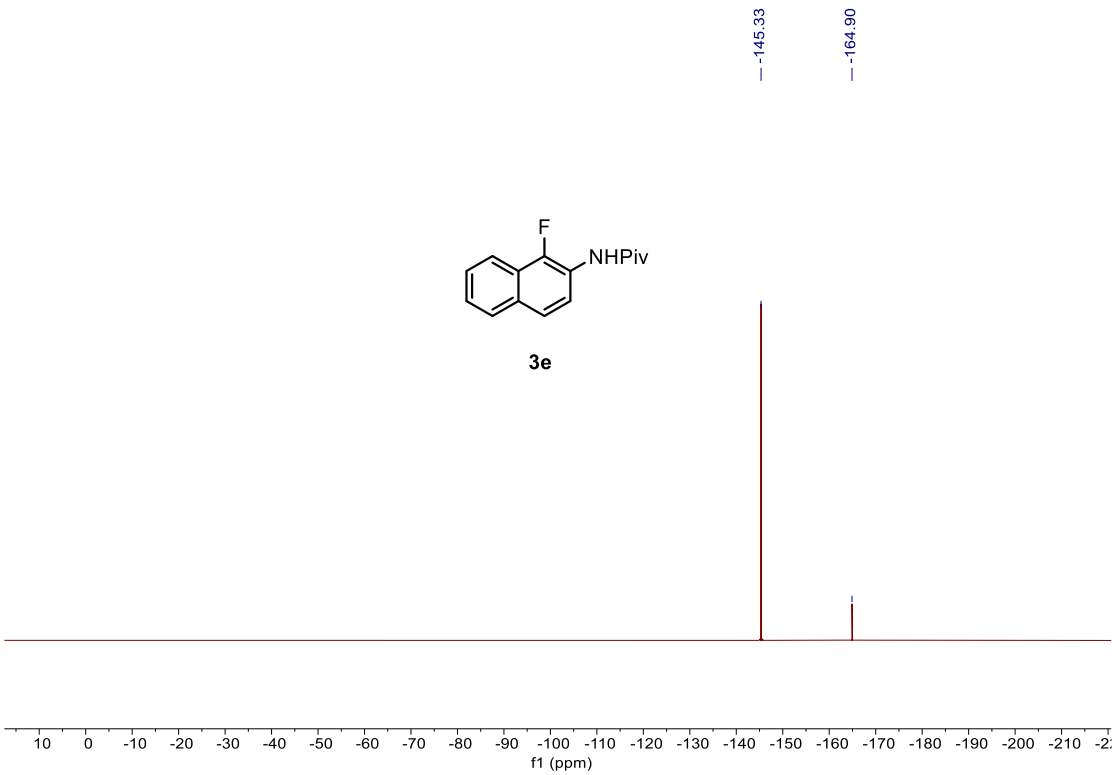
(5) ^{1}H NMR of Compound 3e (500 MHz, $CDCl_3$)



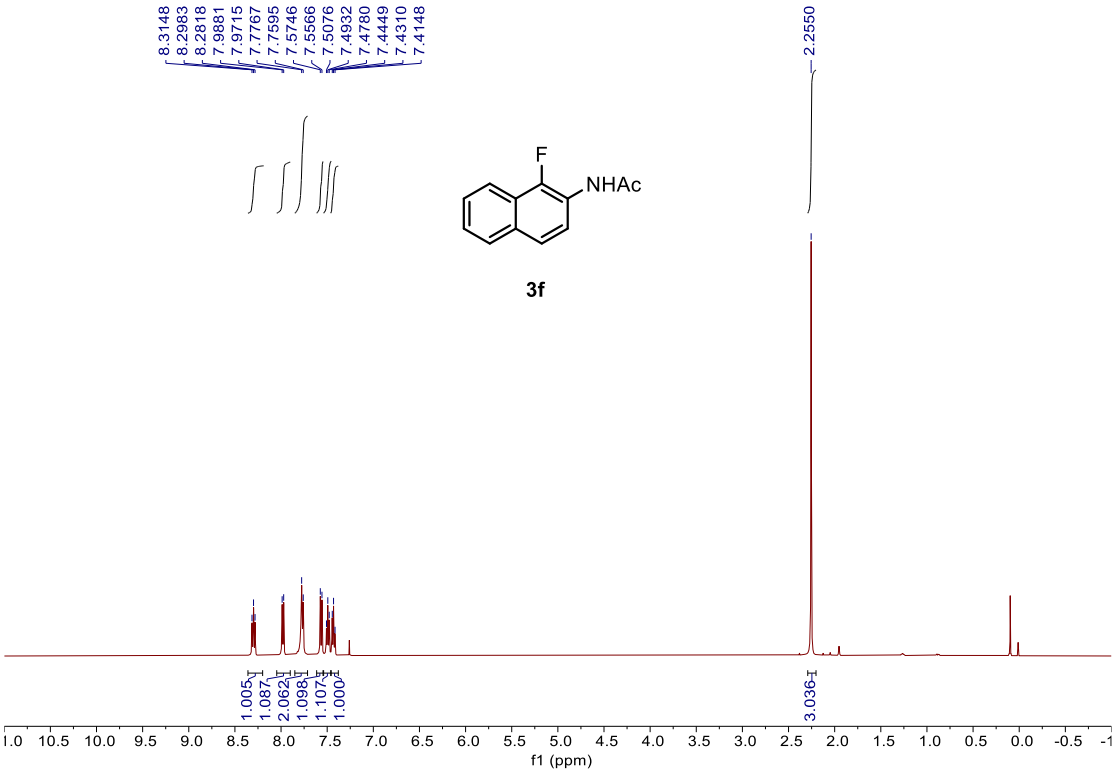
^{13}C NMR of Compound 3e (126 MHz, $CDCl_3$)



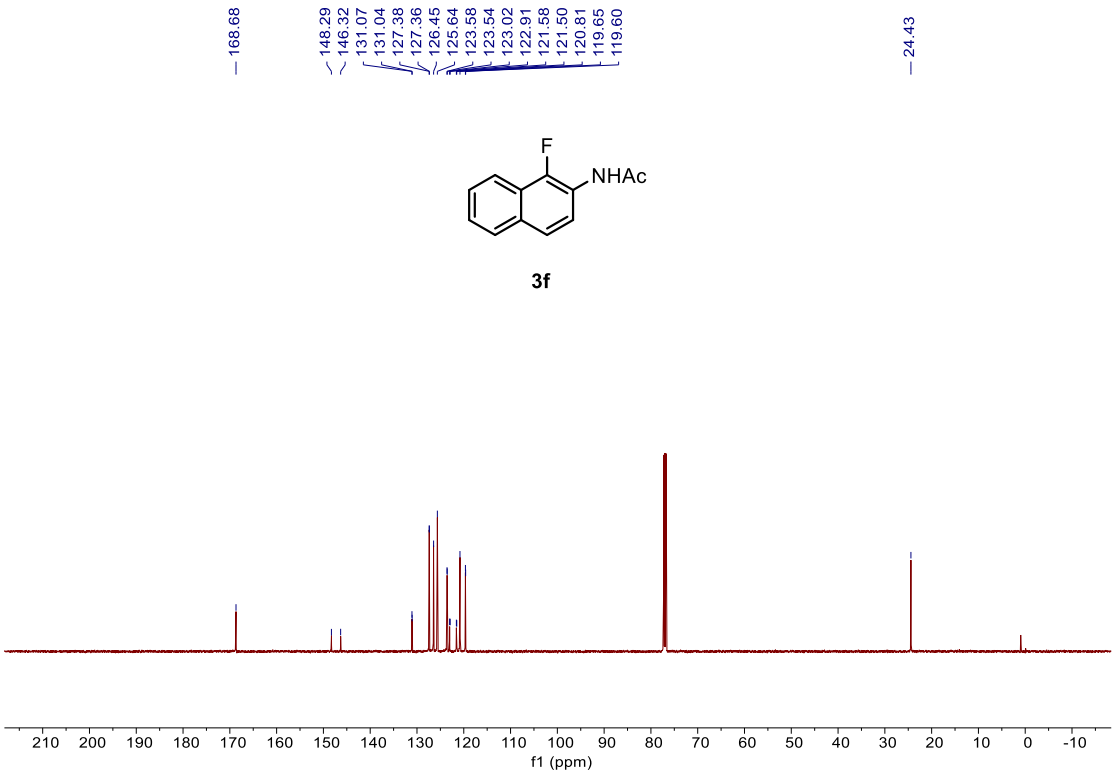
^{19}F NMR of Compound 3e (471 MHz, $CDCl_3$)



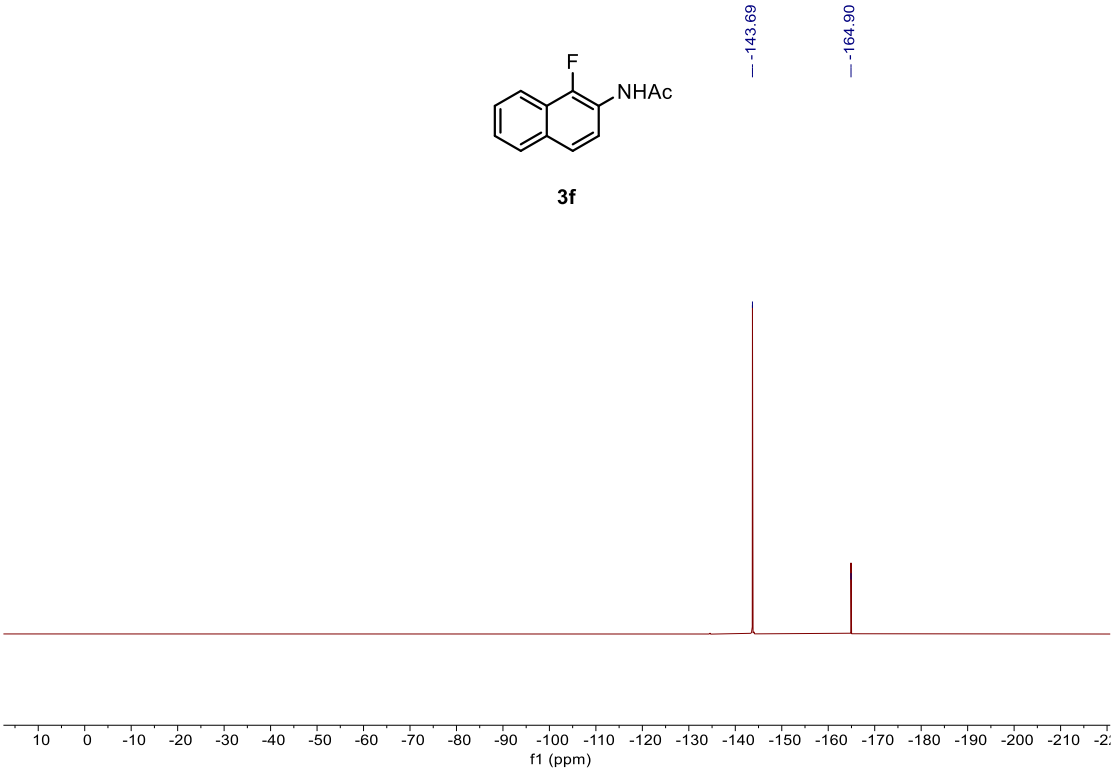
(6) ^{1}H NMR of Compound 3e (500 MHz, $CDCl_3$)



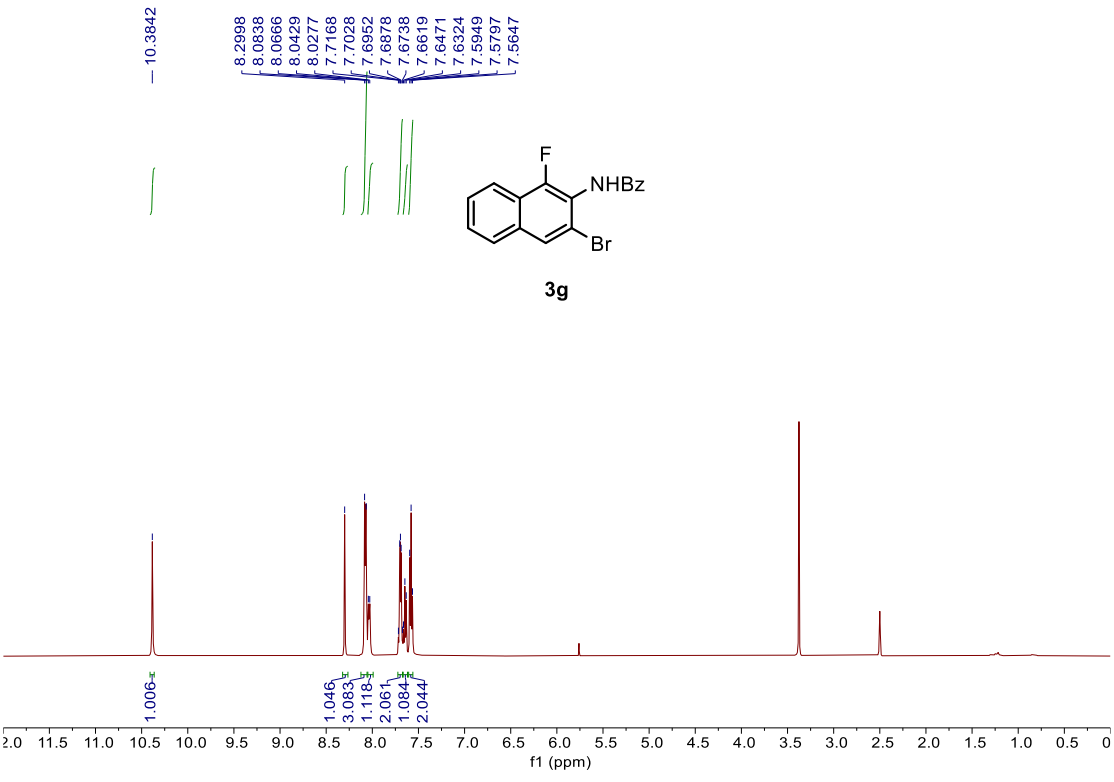
^{13}C NMR of Compound 3f (126 MHz, $CDCl_3$)



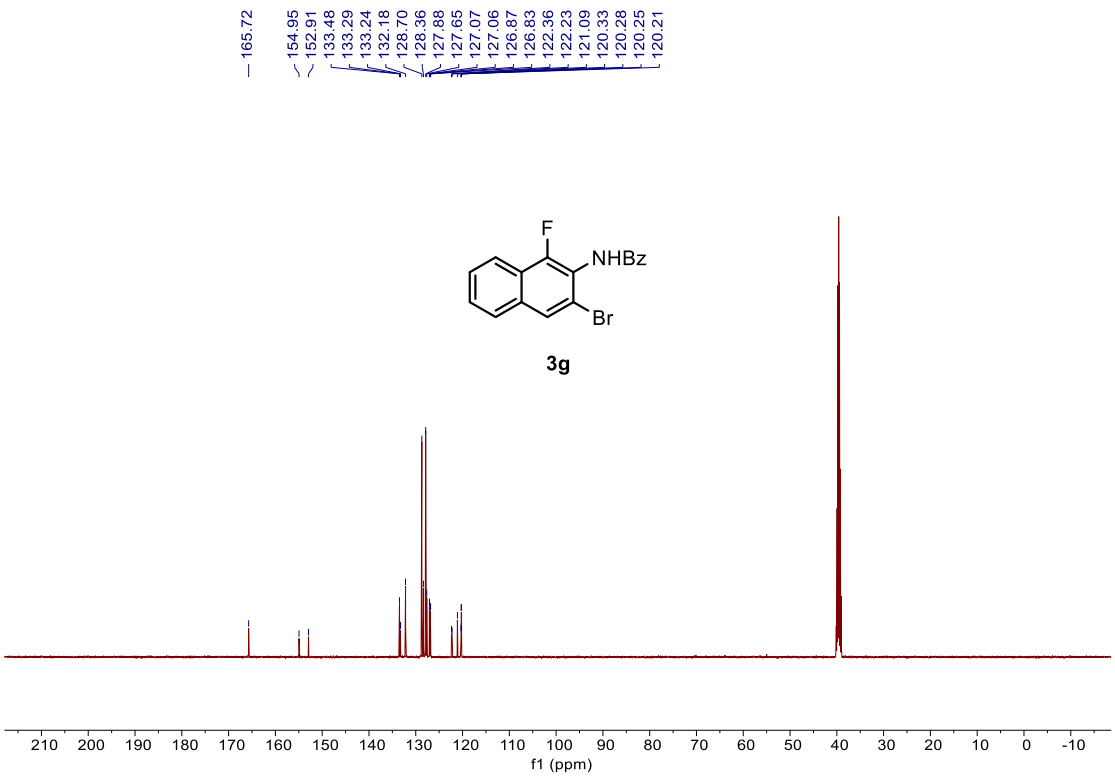
^{19}F NMR of Compound 3f (471 MHz, $CDCl_3$)



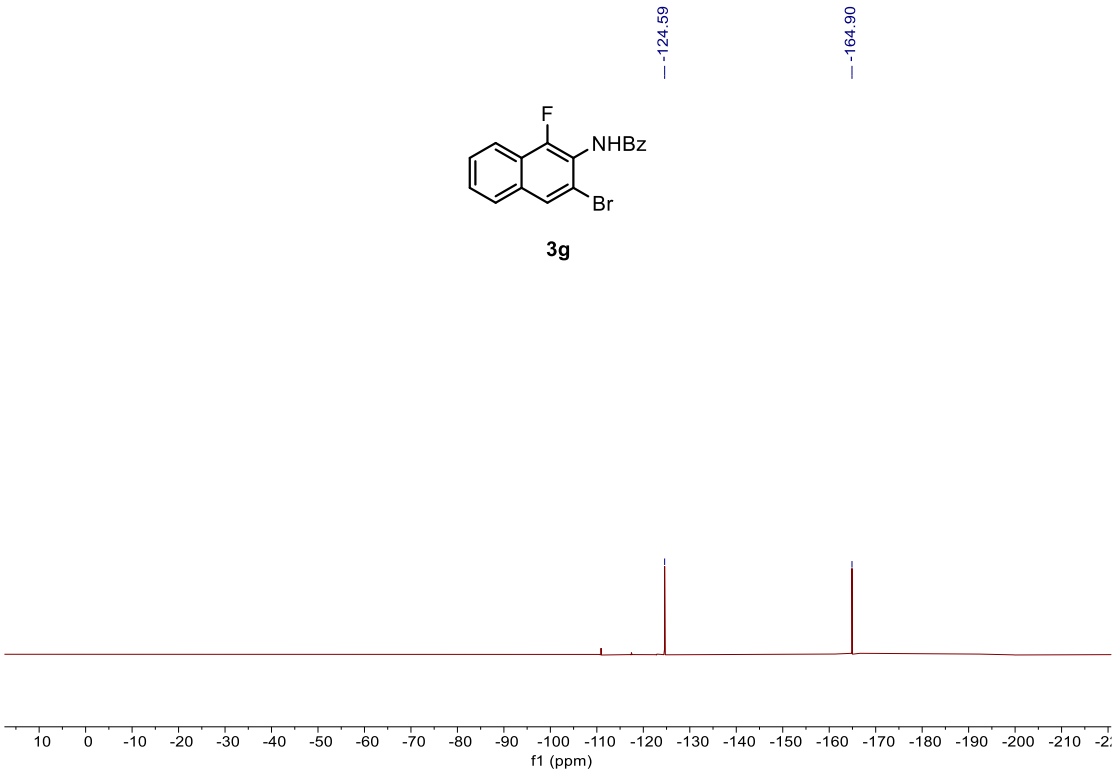
(7) ^{1}H NMR of Compound 3g (500 MHz, DMSO-d_6)



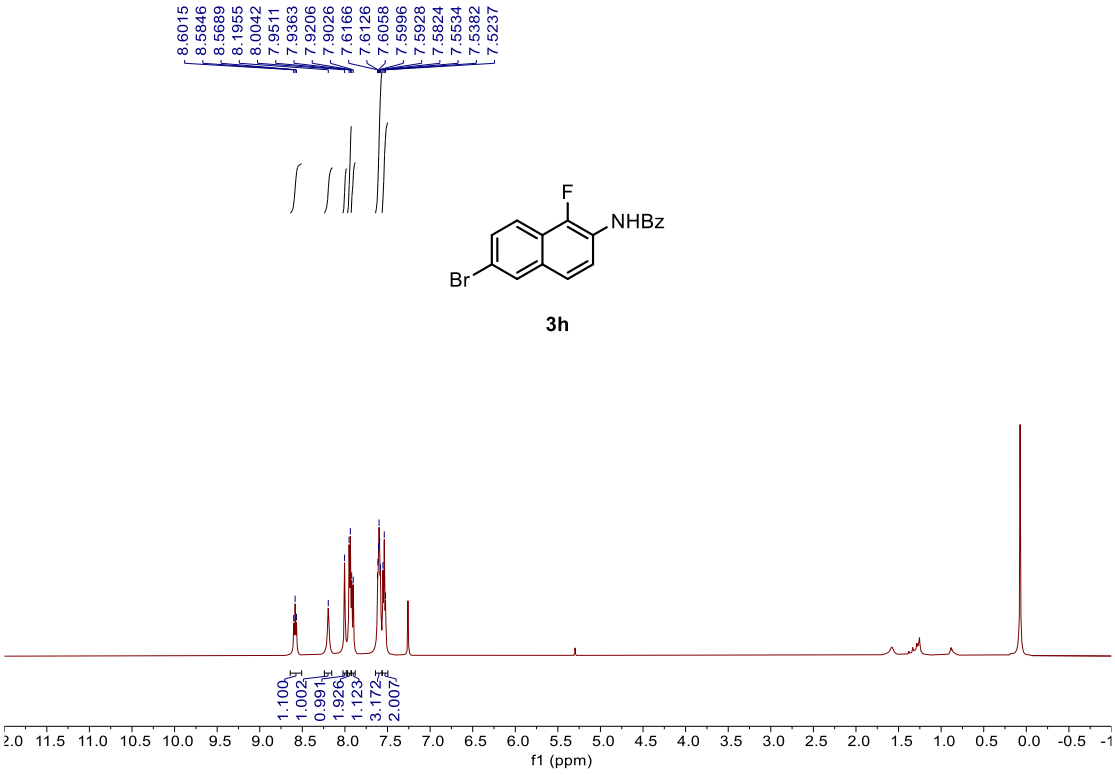
^{13}C NMR of Compound 3g (126 MHz, DMSO-d_6)



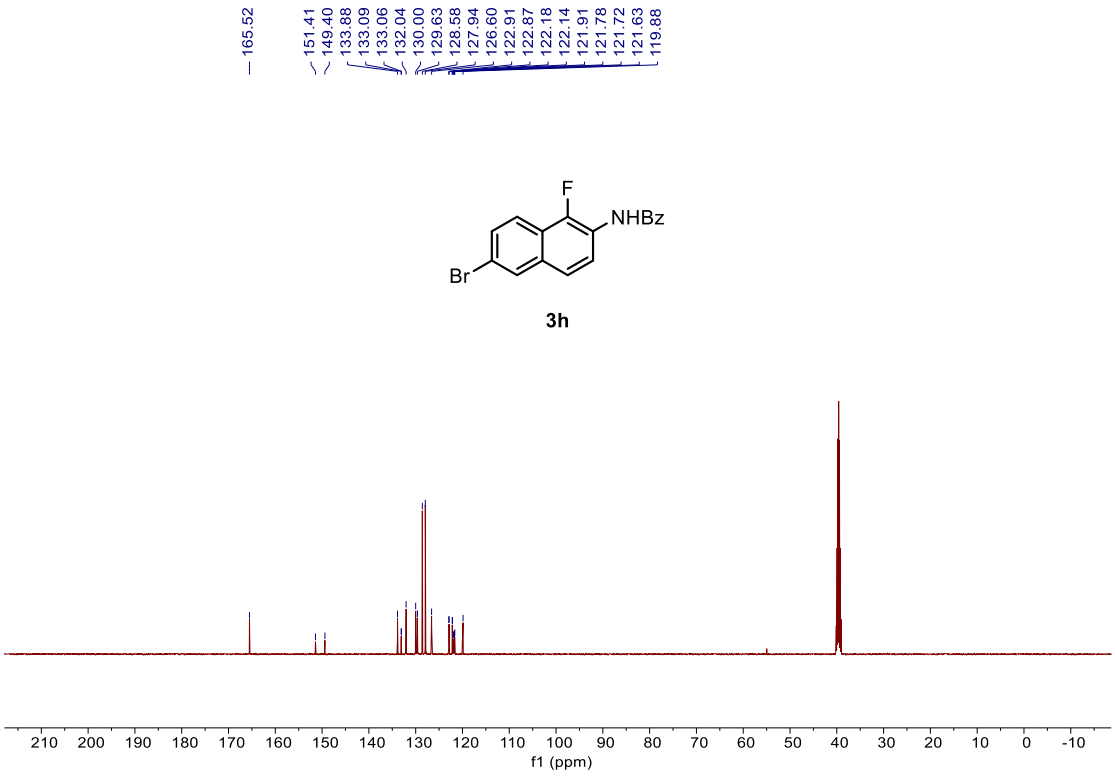
^{19}F NMR of Compound 3g (471 MHz, $CDCl_3$)



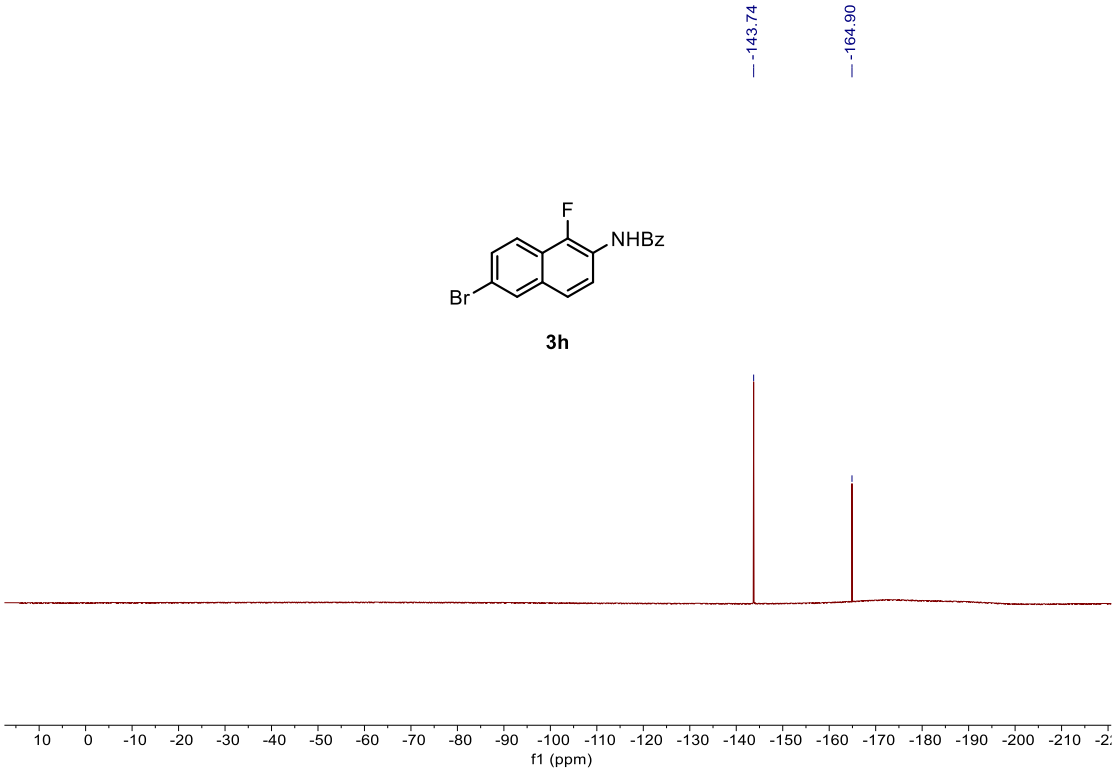
(8) ^{1}H NMR of Compound 3h (500 MHz, $CDCl_3$)



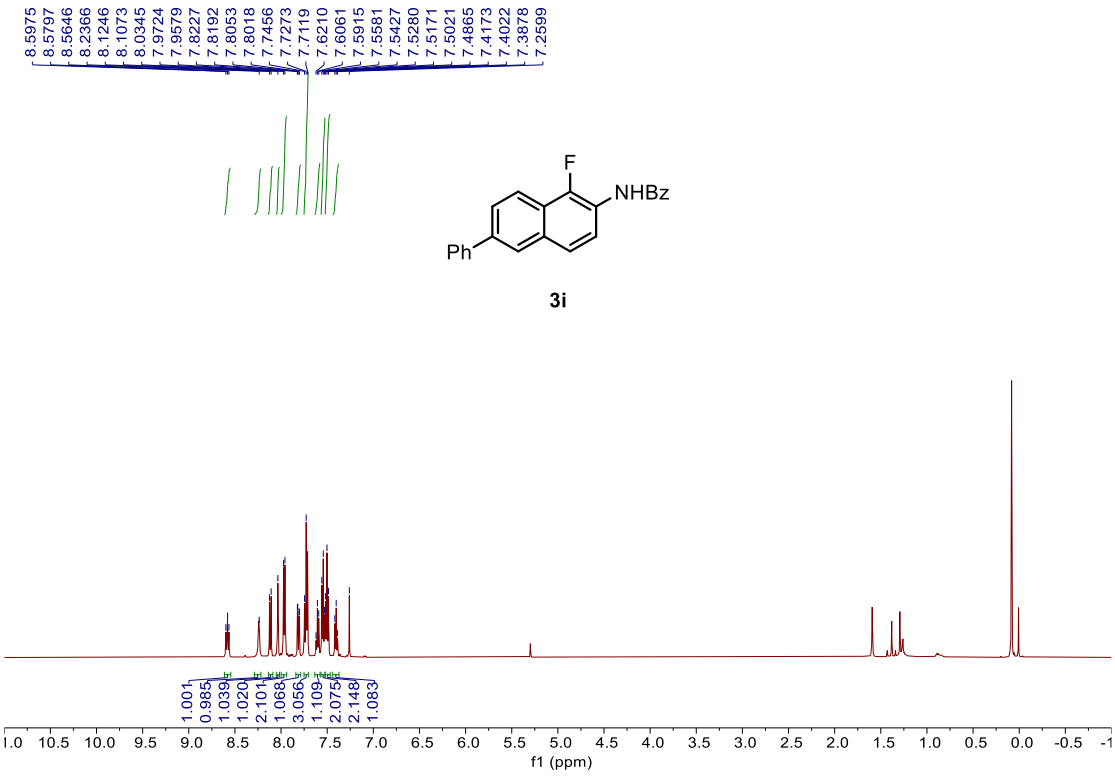
^{13}C NMR of Compound 3h (126 MHz, DMSO-d_6)



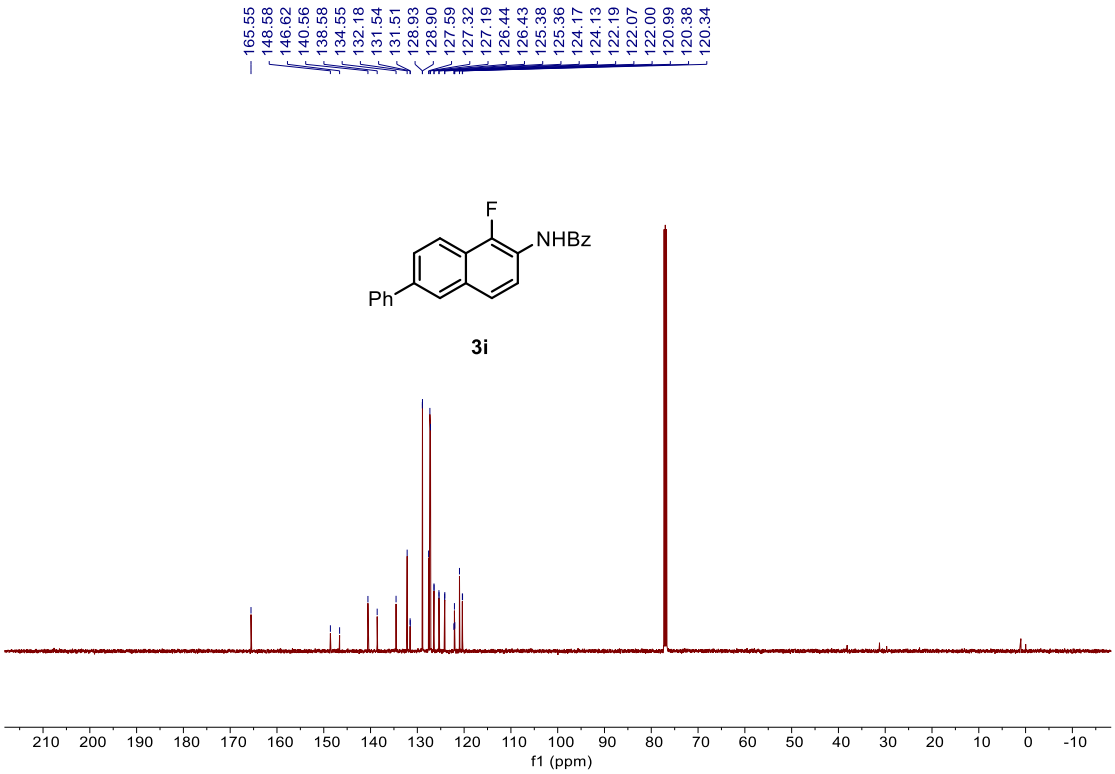
^{19}F NMR of Compound 3h (471 MHz, $CDCl_3$)



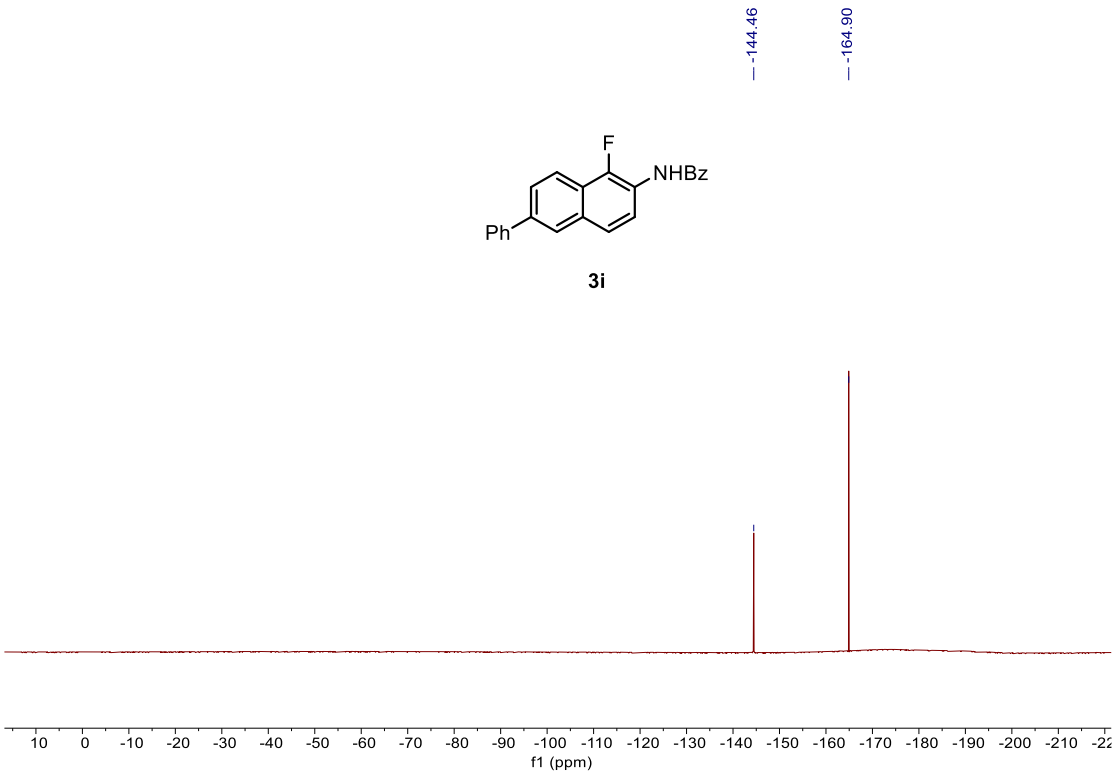
(9) ^{1}H NMR of Compound 3i (500 MHz, $CDCl_3$)



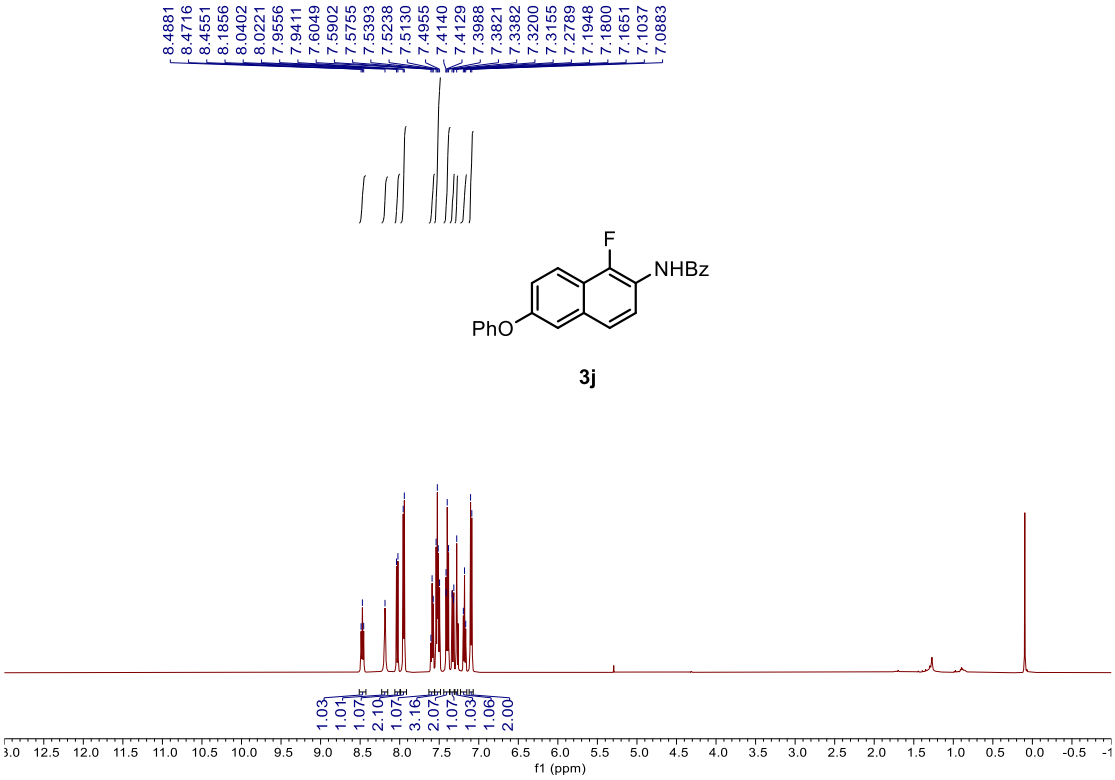
^{13}C NMR of Compound 3i (126 MHz, $CDCl_3$)



^{19}F NMR of Compound 3i (471 MHz, $CDCl_3$)

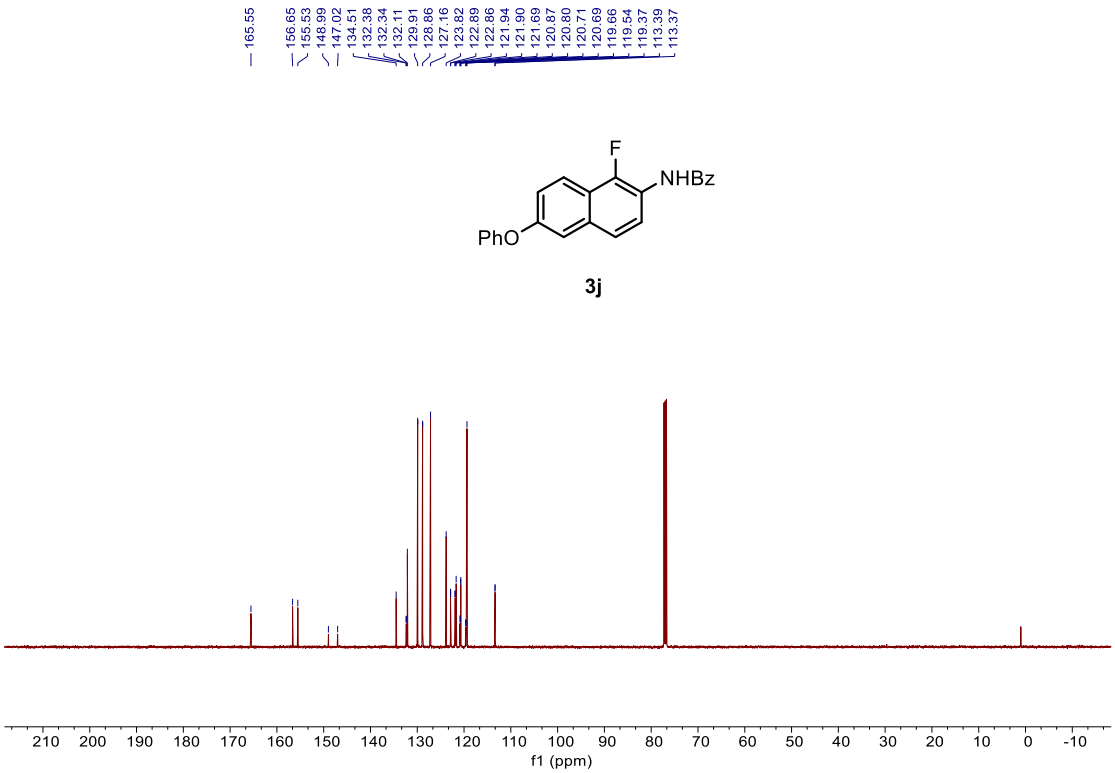


(10) ^{1}H NMR of Compound 3j (500 MHz, $CDCl_3$)



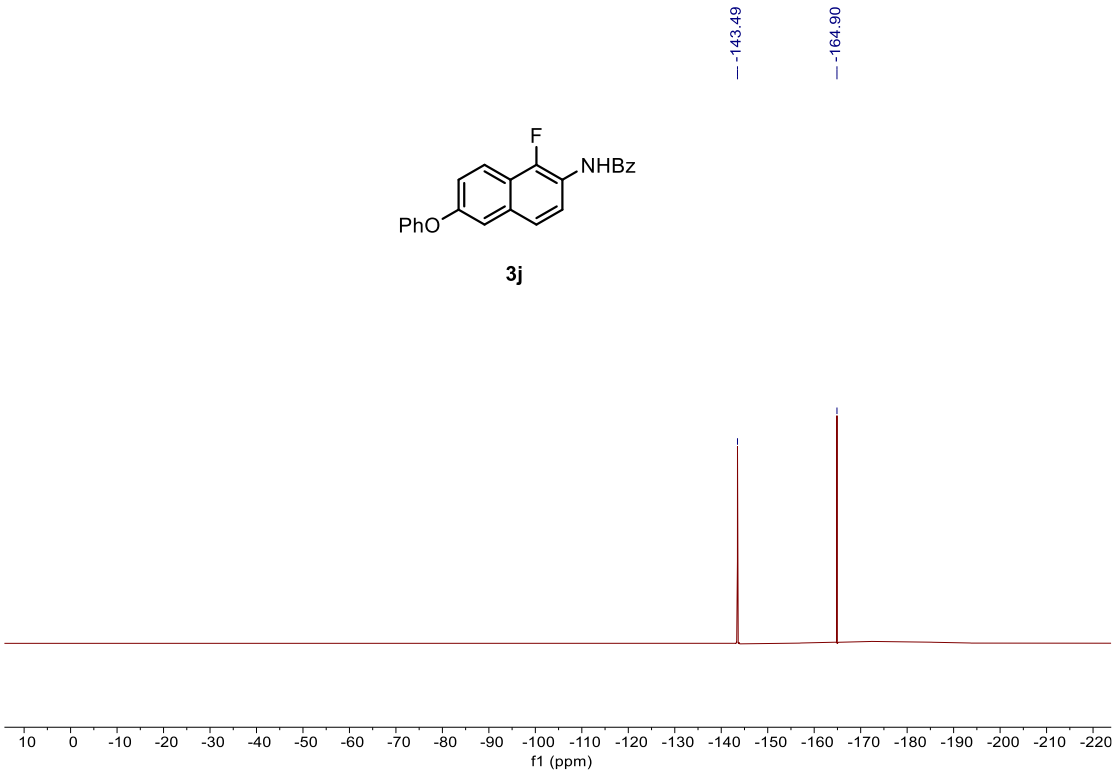
3j

^{13}C NMR of Compound 3j (126 MHz, $CDCl_3$)

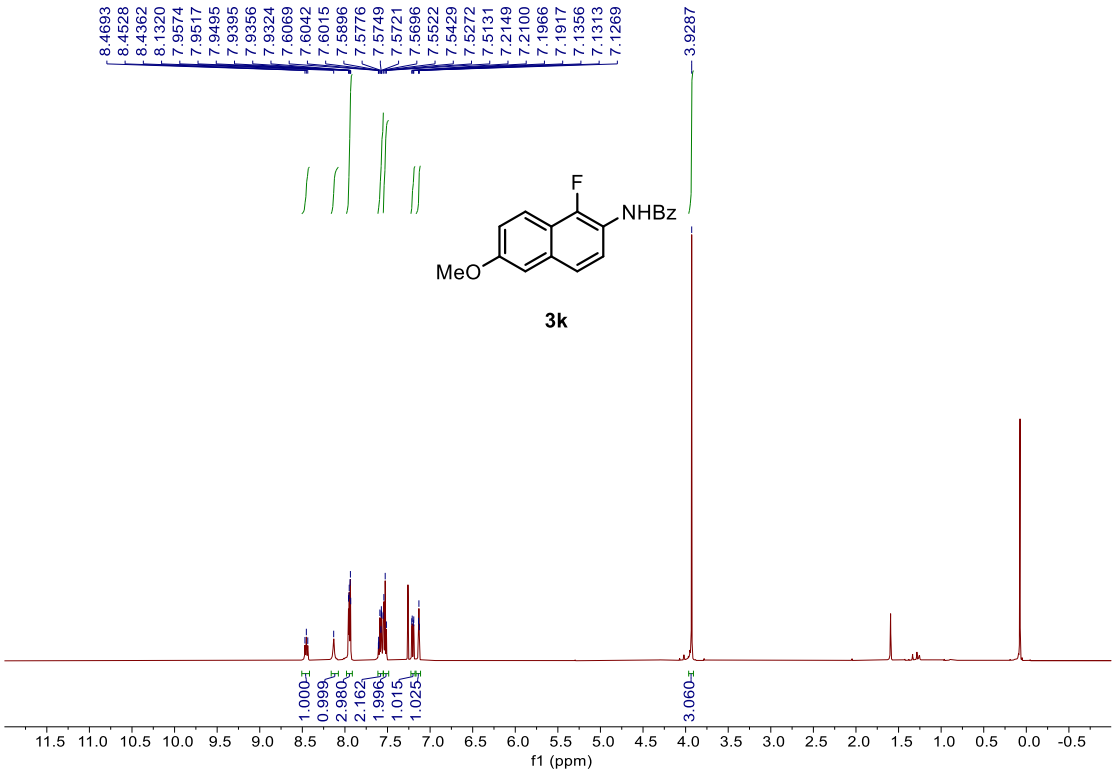


3j

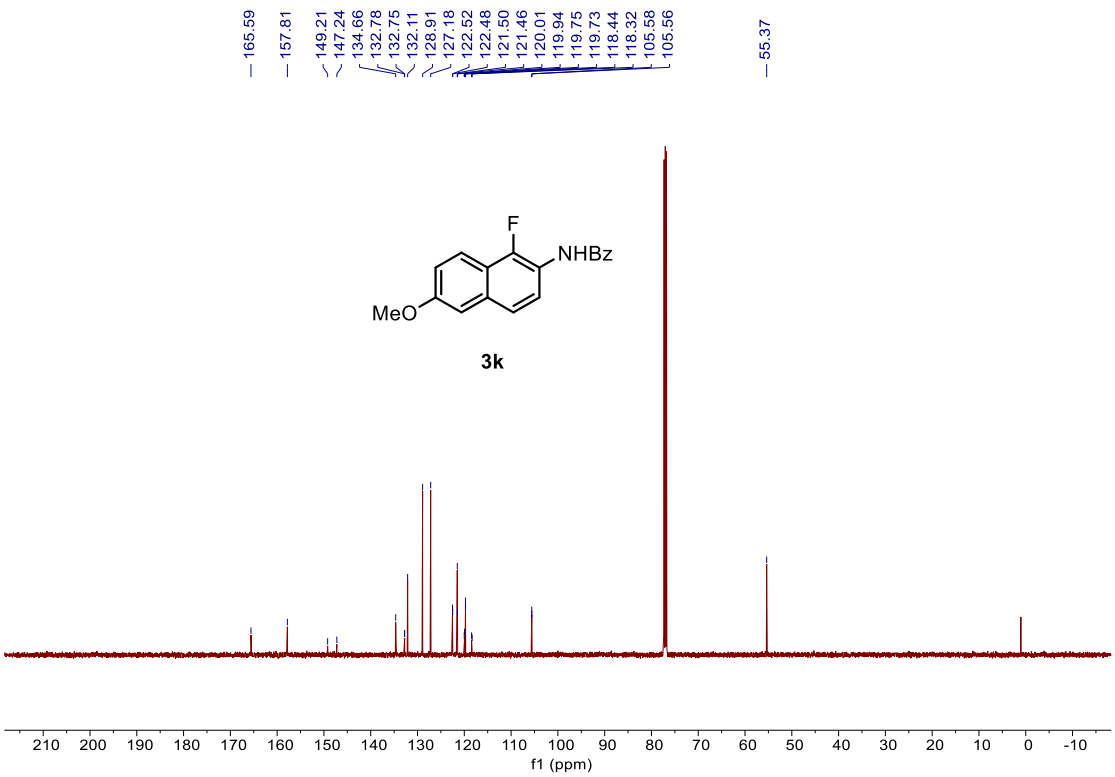
^{19}F NMR of Compound 3j (471 MHz, $CDCl_3$)



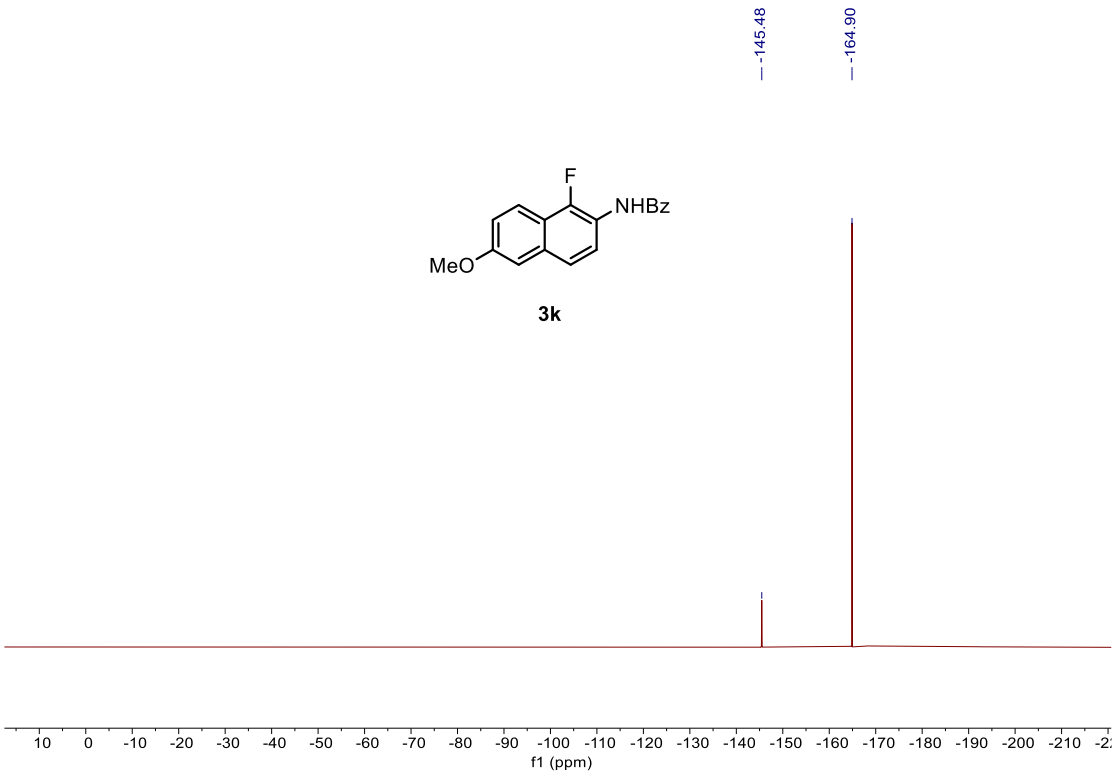
(11) ^{1}H NMR of Compound 3k (500 MHz, $CDCl_3$)



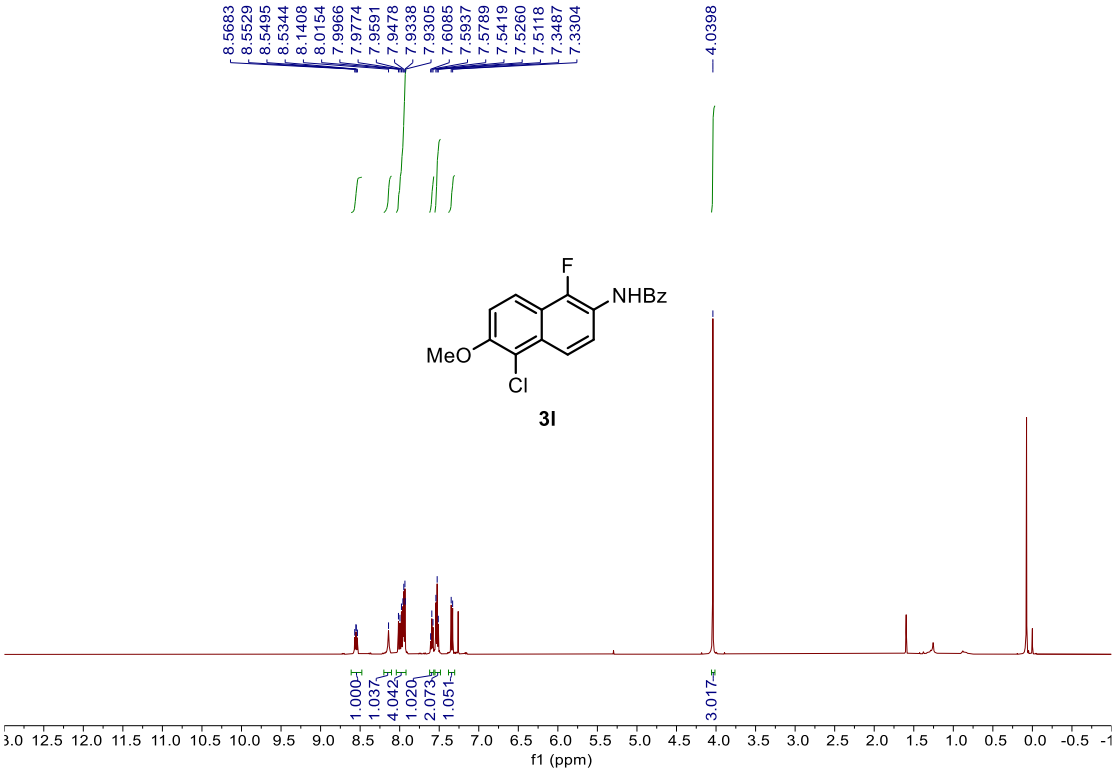
^{13}C NMR of Compound 3k (126 MHz, $CDCl_3$)



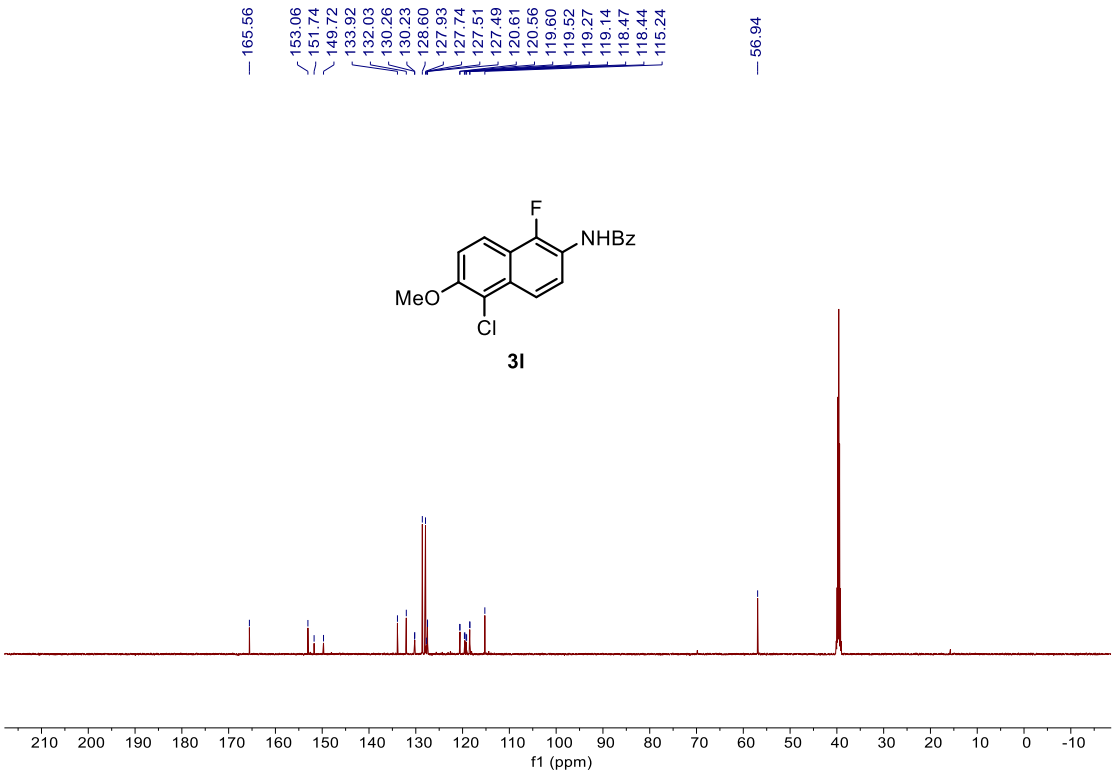
^{19}F NMR of Compound 3k (471 MHz, $CDCl_3$)



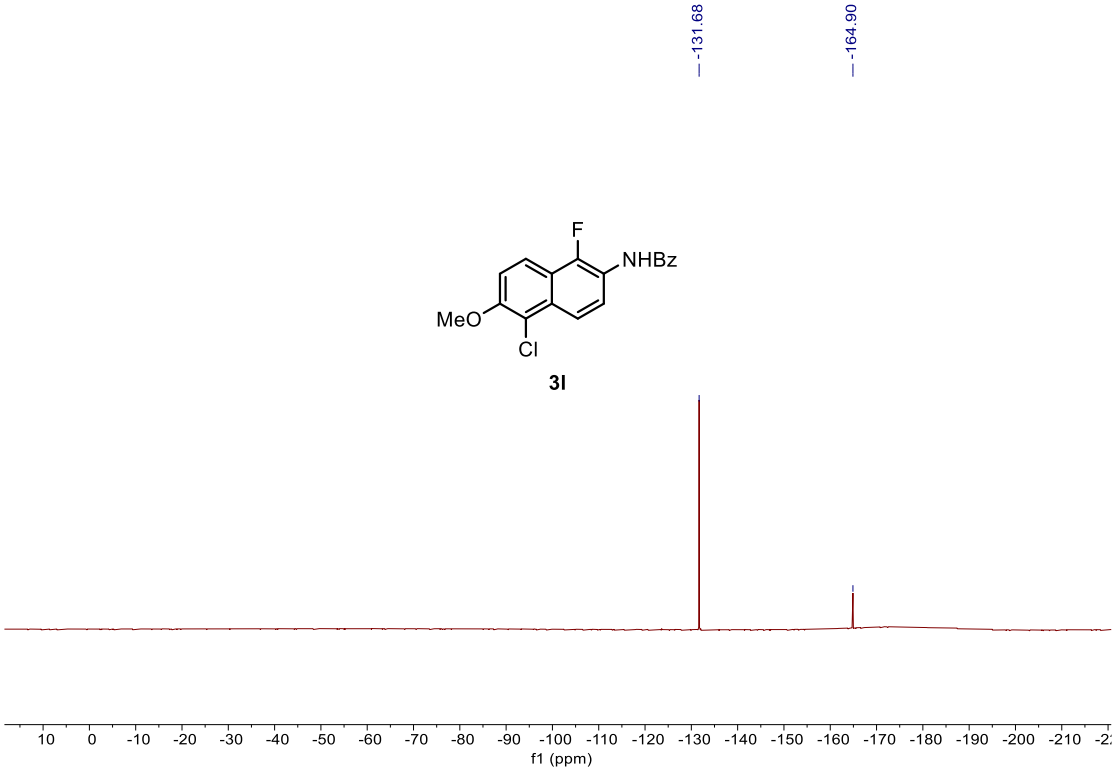
(12) ^{1}H NMR of Compound 3l (500 MHz, $CDCl_3$)



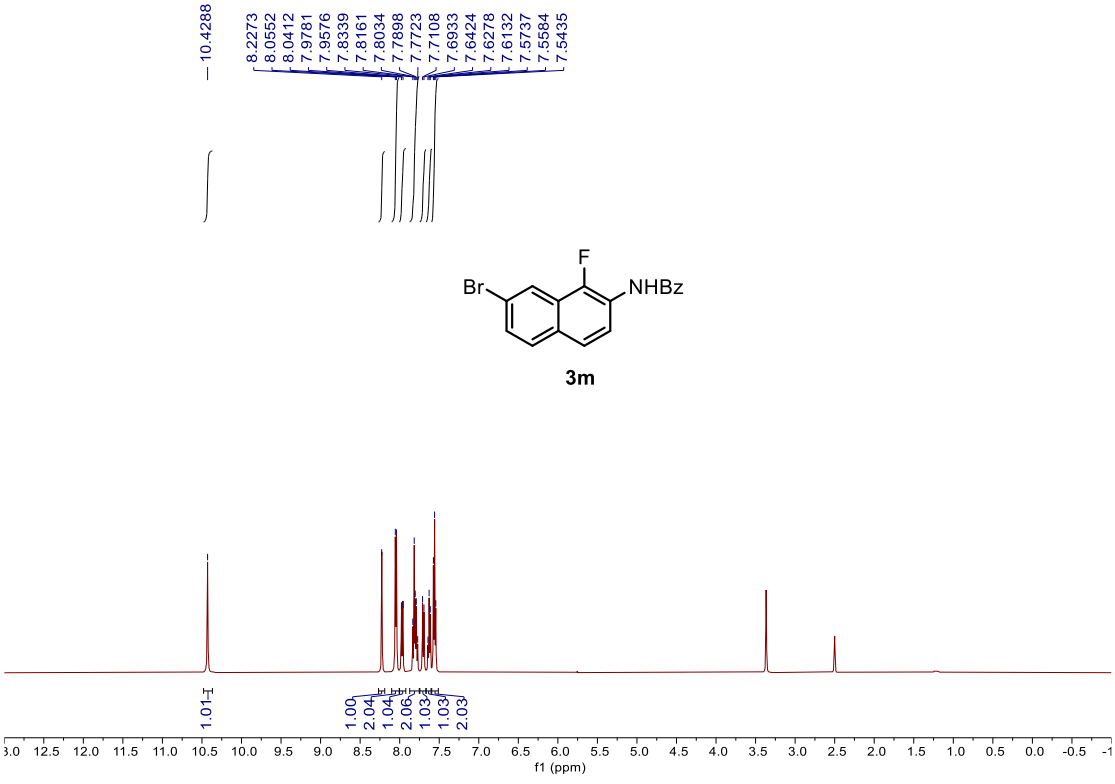
^{13}C NMR of Compound 3l (126 MHz, $CDCl_3$)



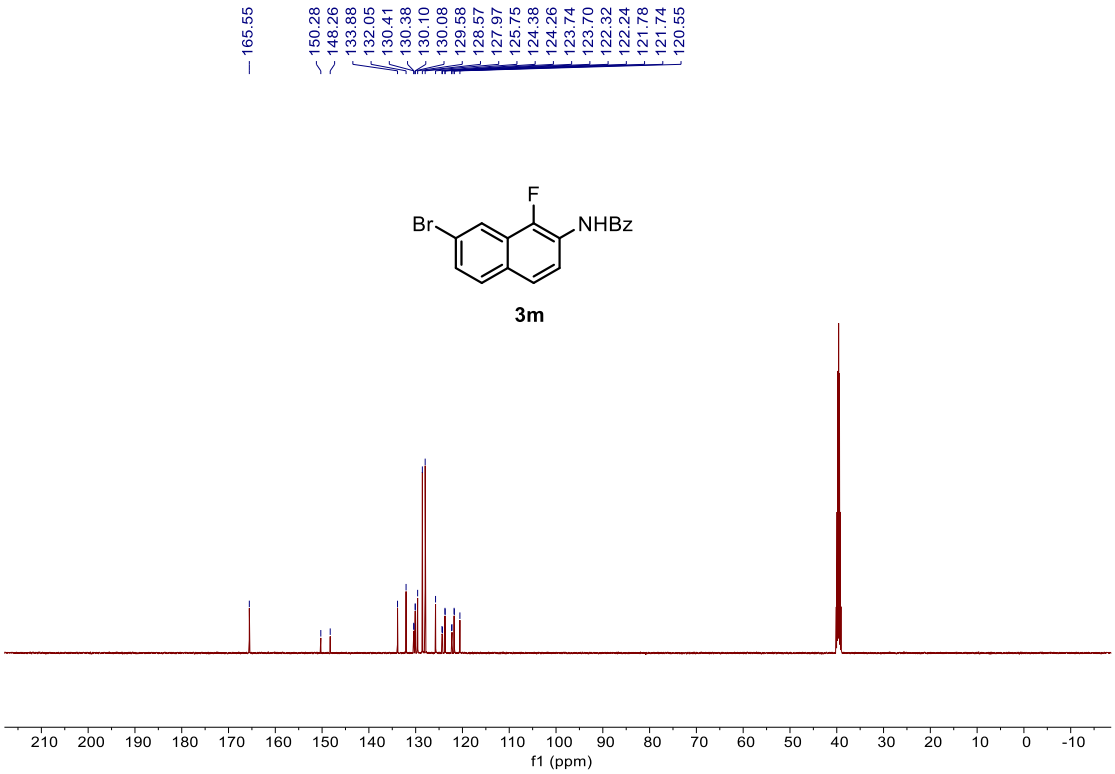
^{19}F NMR of Compound 3l (471 MHz, $CDCl_3$)



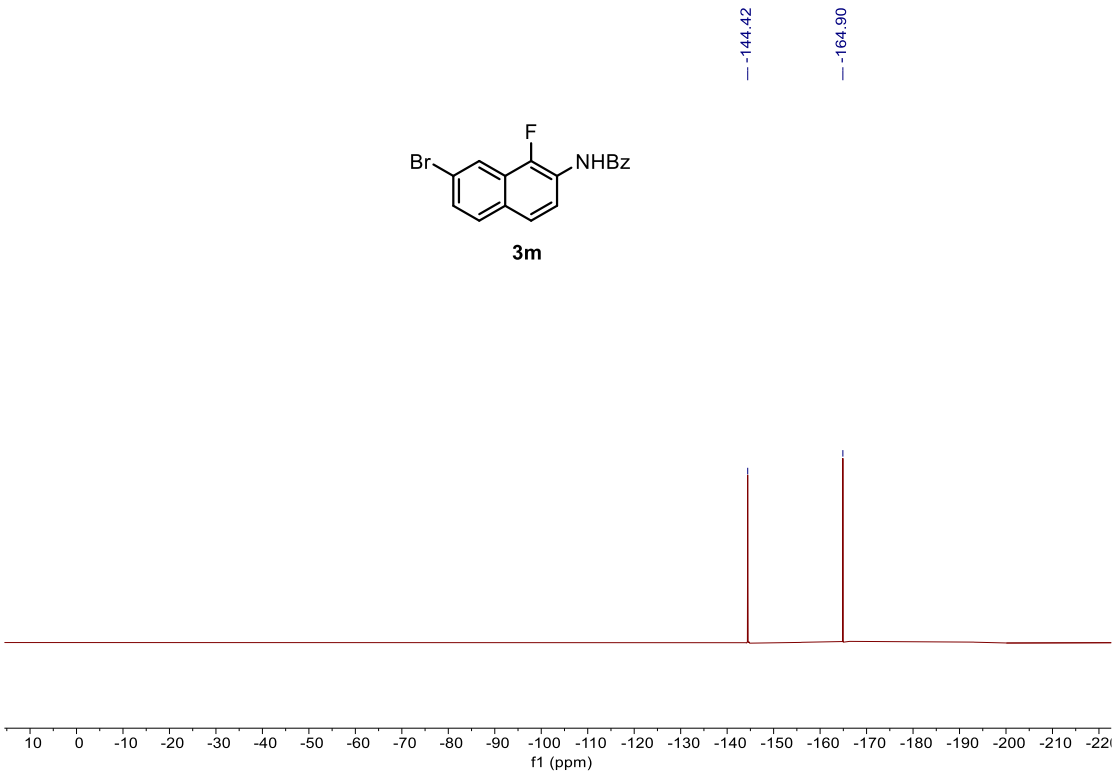
(13) ^{1}H NMR of Compound 3m (500 MHz, DMSO-d_6)



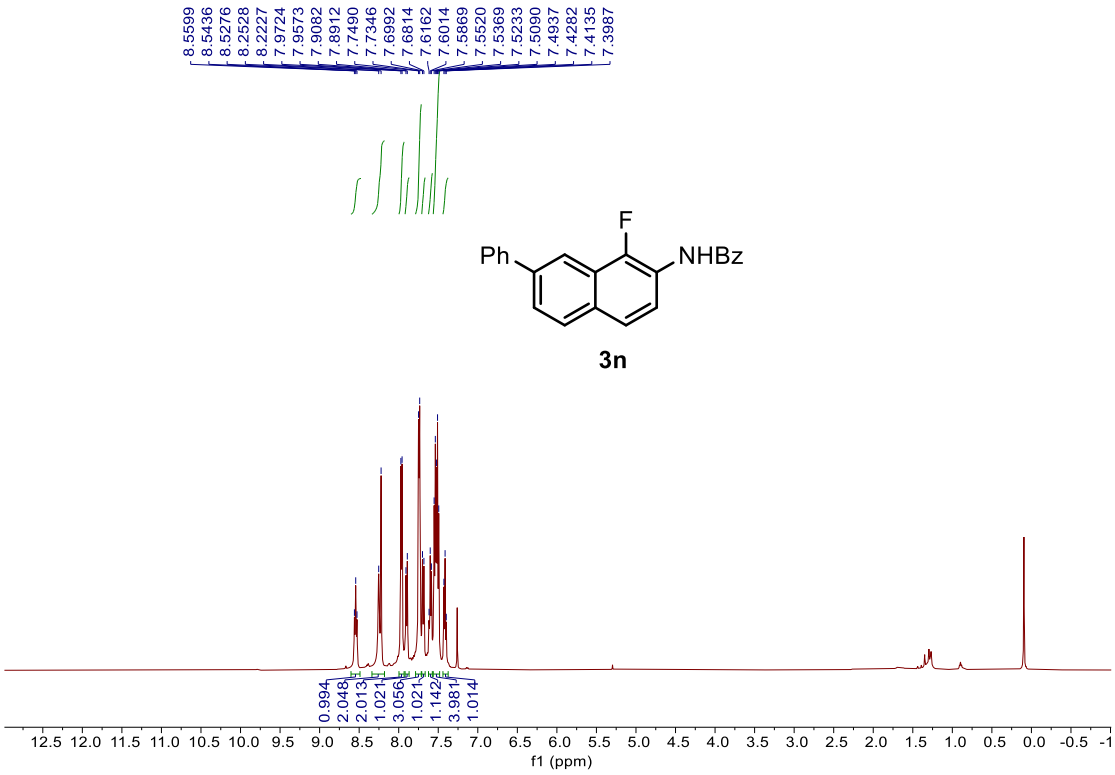
^{13}C NMR of Compound 3l (126 MHz, DMSO-*d*$_6$)



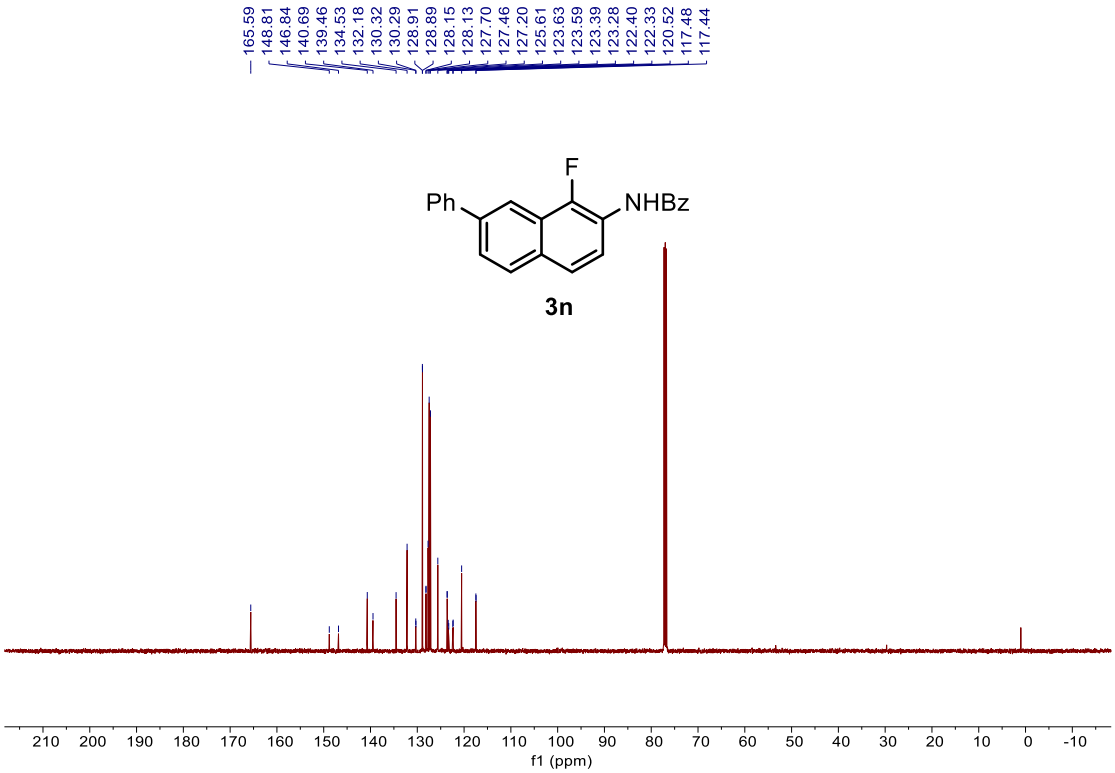
^{19}F NMR of Compound 3m (471 MHz, CDCl$_3$)



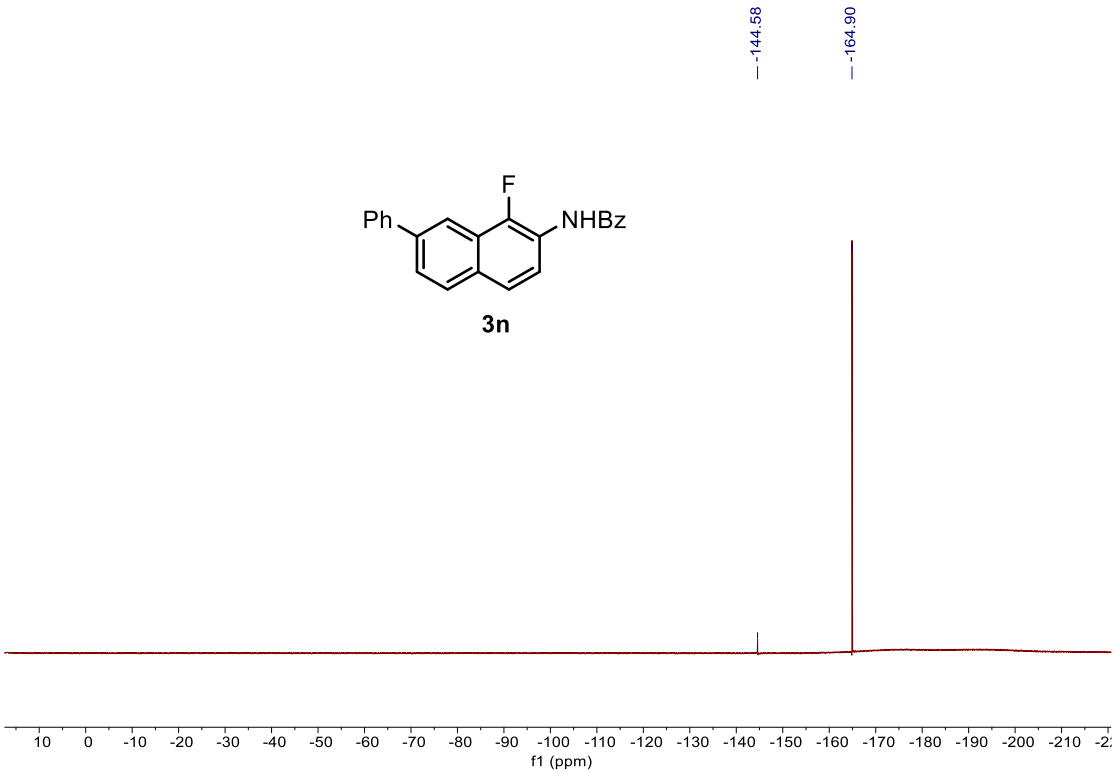
(14) ^{1}H NMR of Compound 3n (500 MHz, $CDCl_3$)



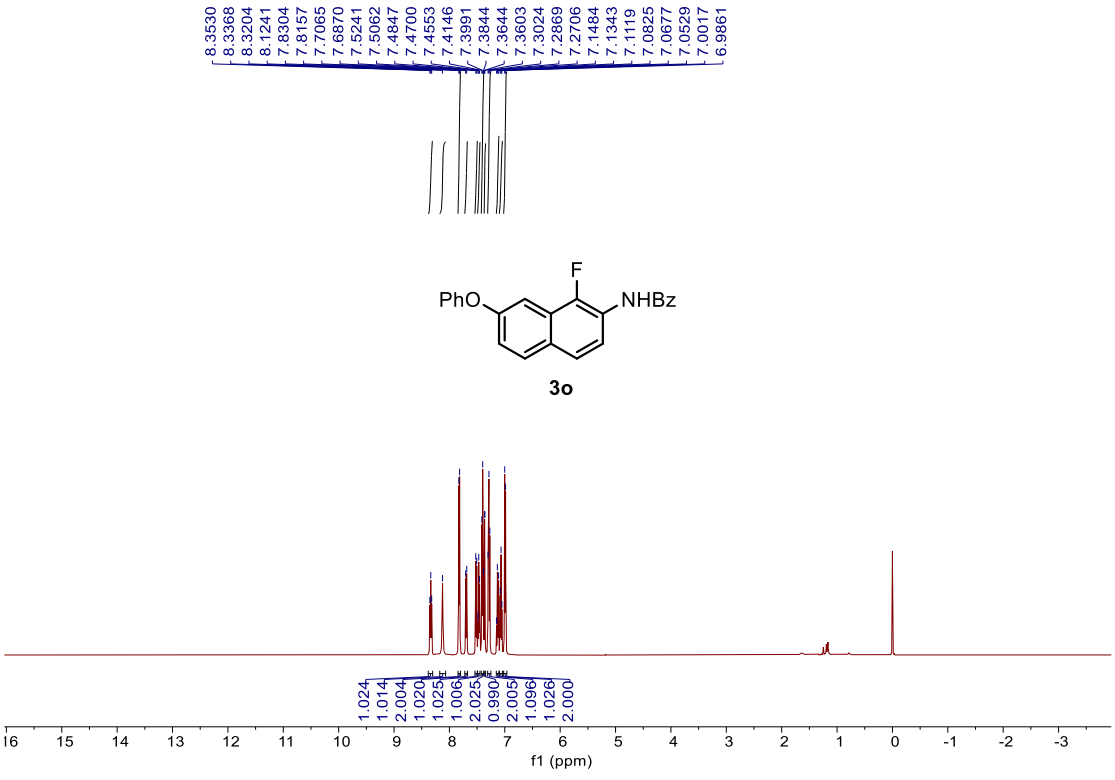
^{13}C NMR of Compound 3n (126 MHz, $CDCl_3$)



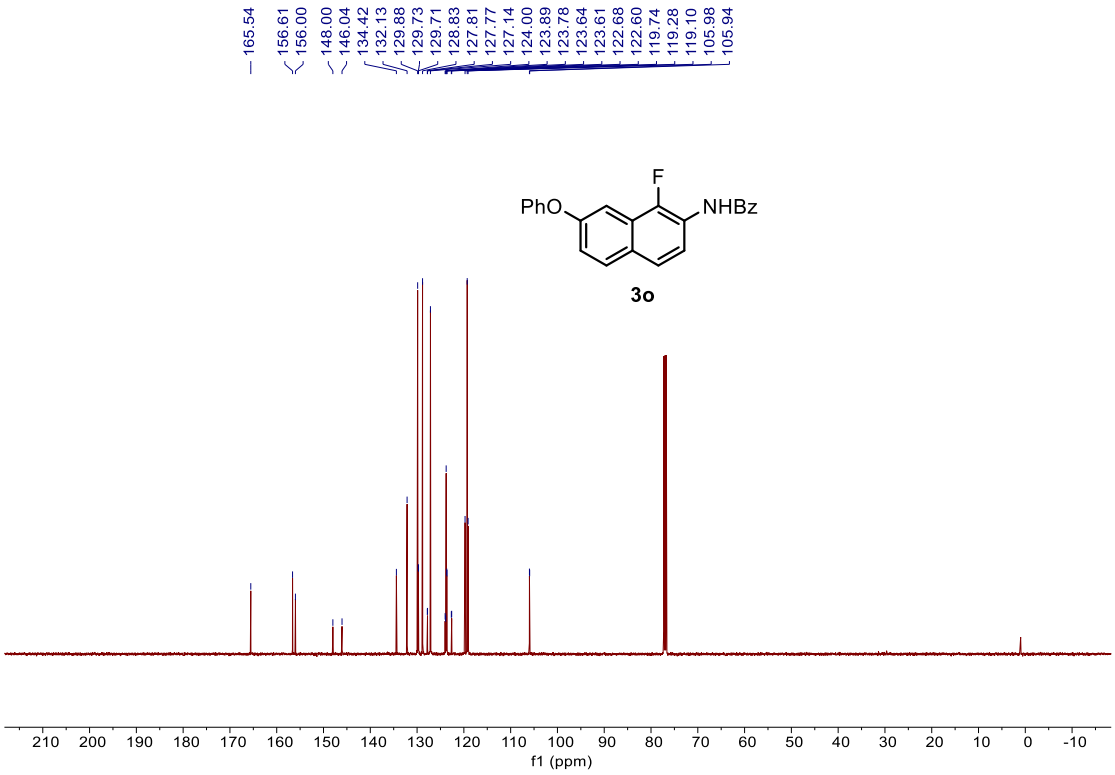
^{19}F NMR of Compound 3n (471 MHz, $CDCl_3$)



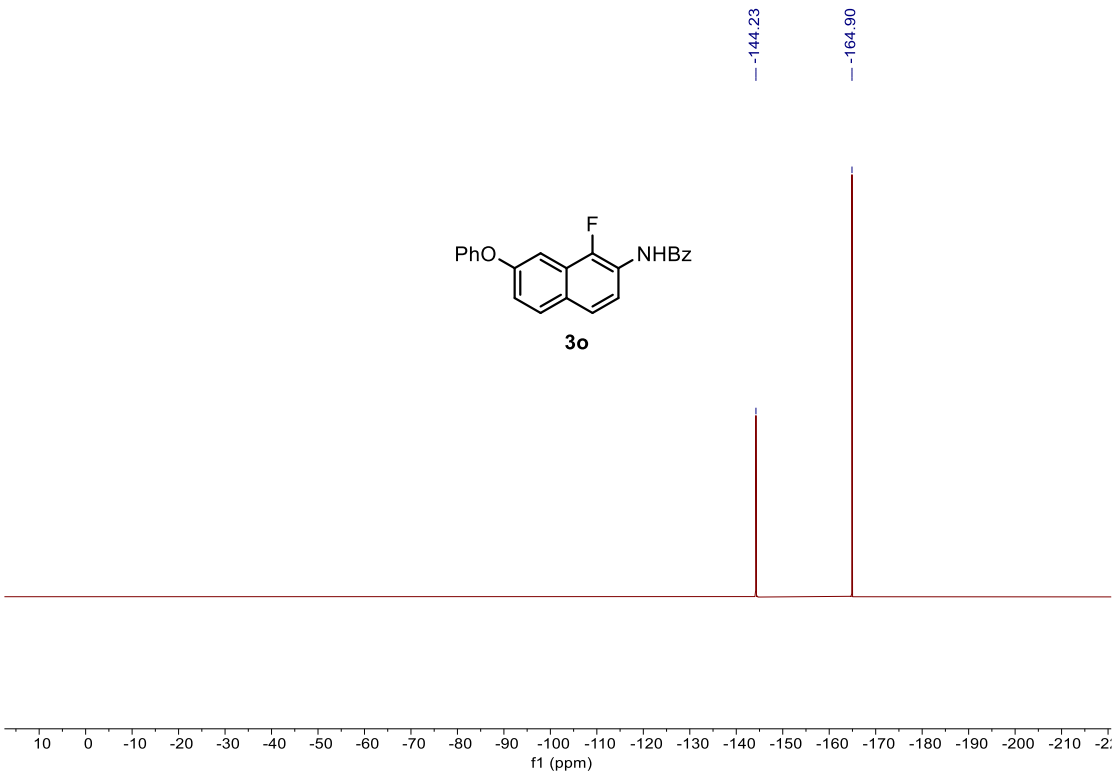
(15) ^{1}H NMR of Compound 3o (500 MHz, $CDCl_3$)



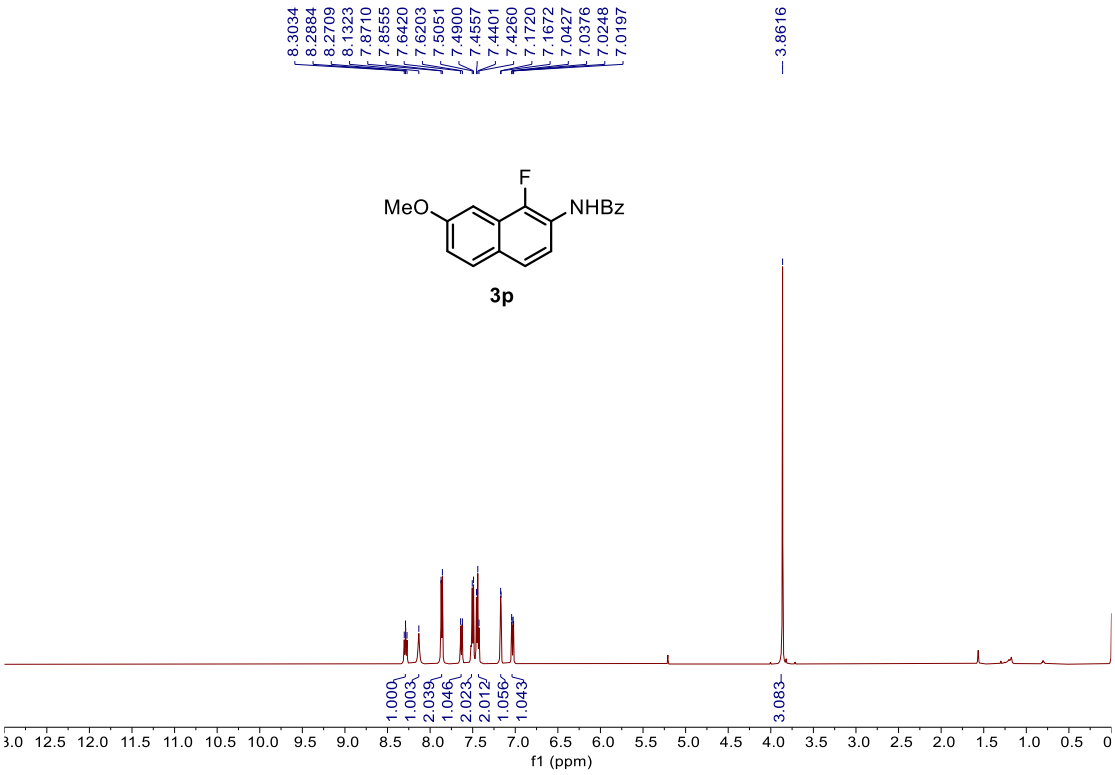
^{13}C NMR of Compound 3o (126 MHz, $CDCl_3$)



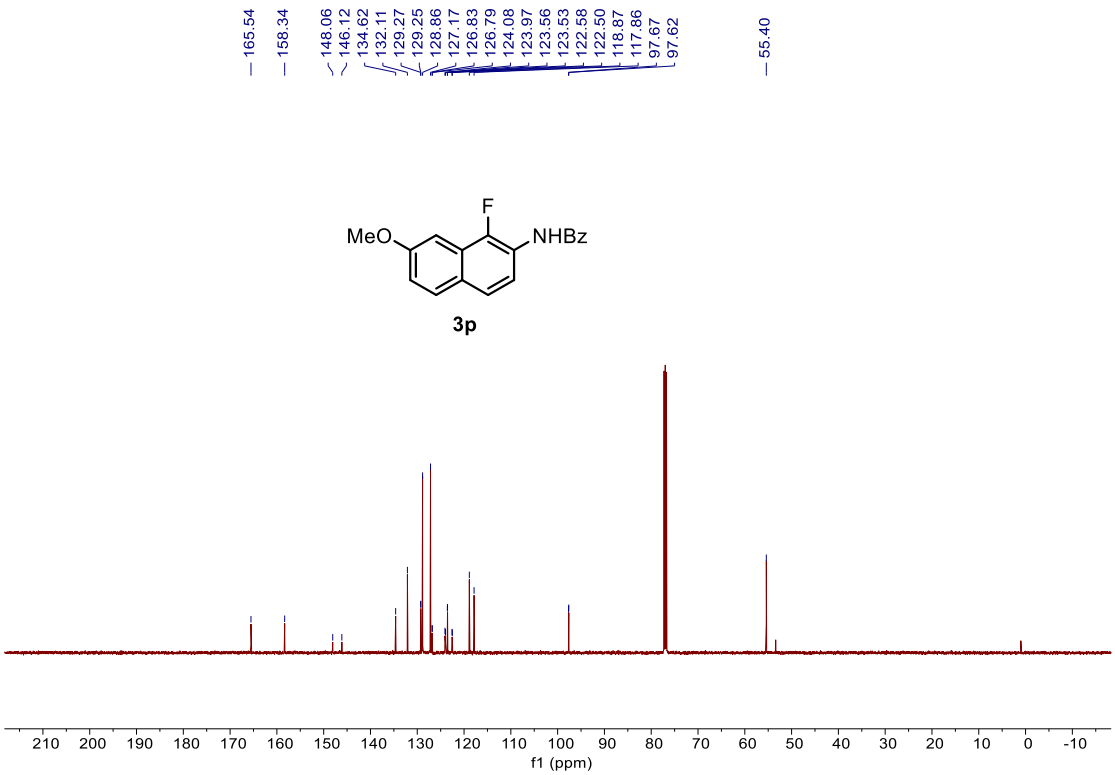
^{19}F NMR of Compound 3n (471 MHz, $CDCl_3$)



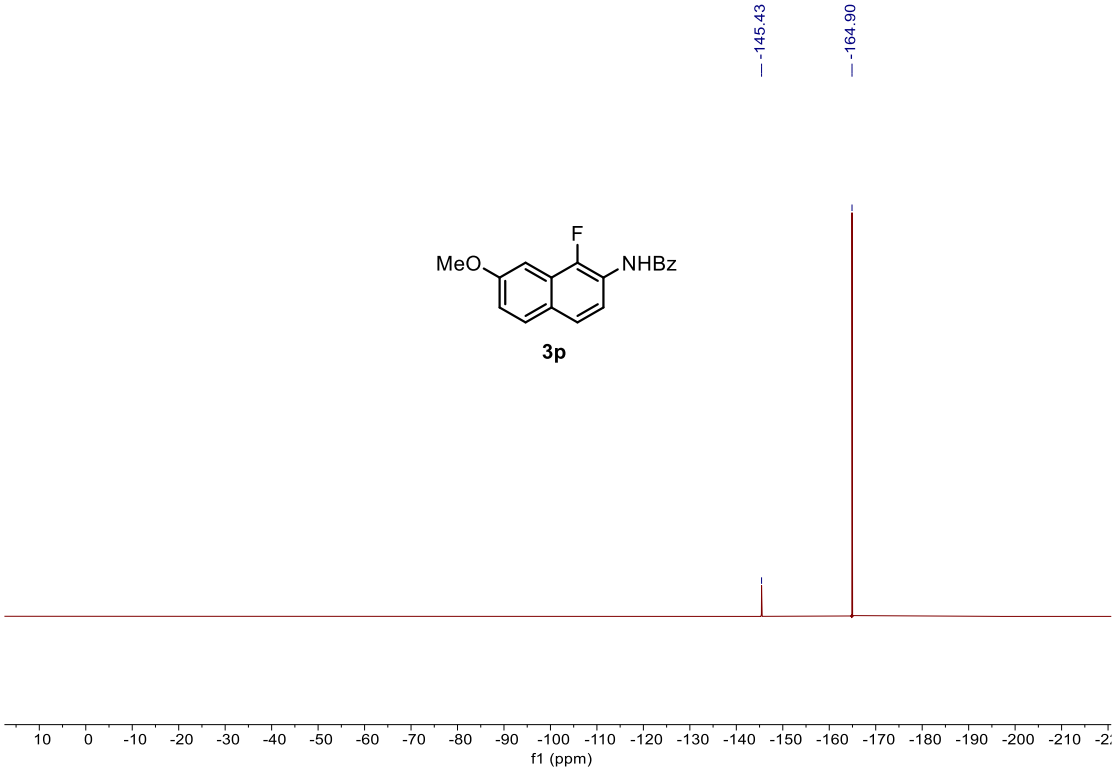
(16) ^{1}H NMR of Compound 3p (500 MHz, $CDCl_3$)



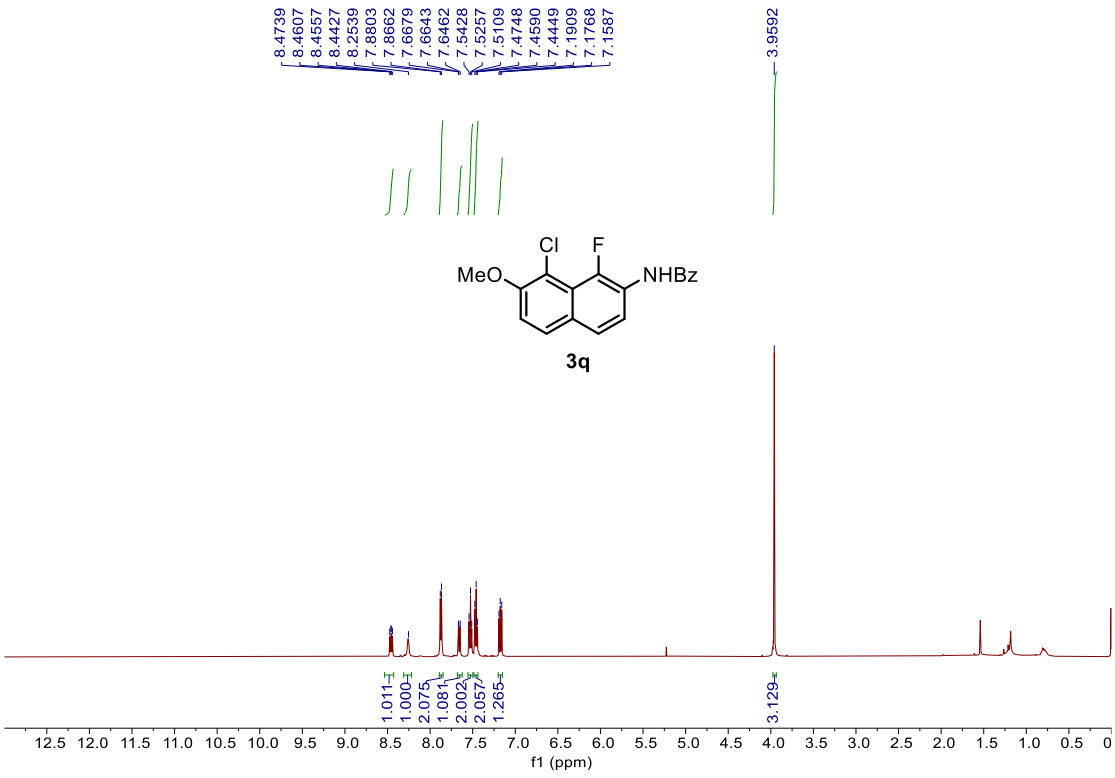
^{13}C NMR of Compound 3p (126 MHz, $CDCl_3$)



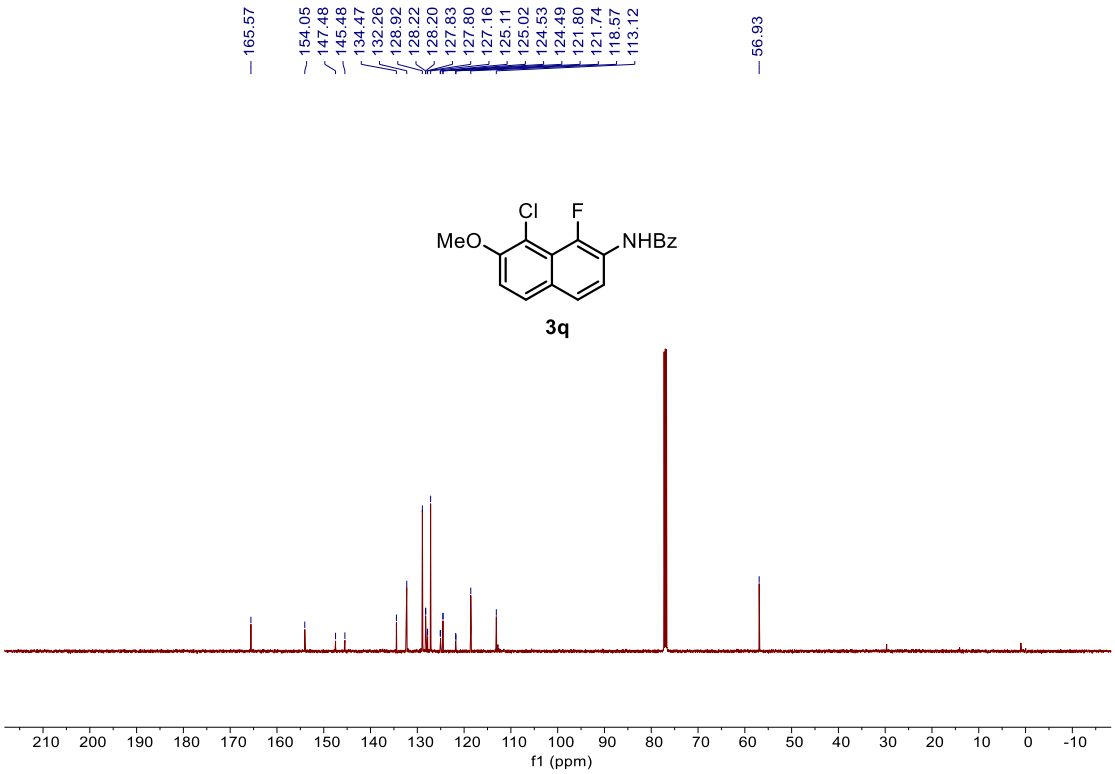
^{19}F NMR of Compound 3p (471 MHz, $CDCl_3$)



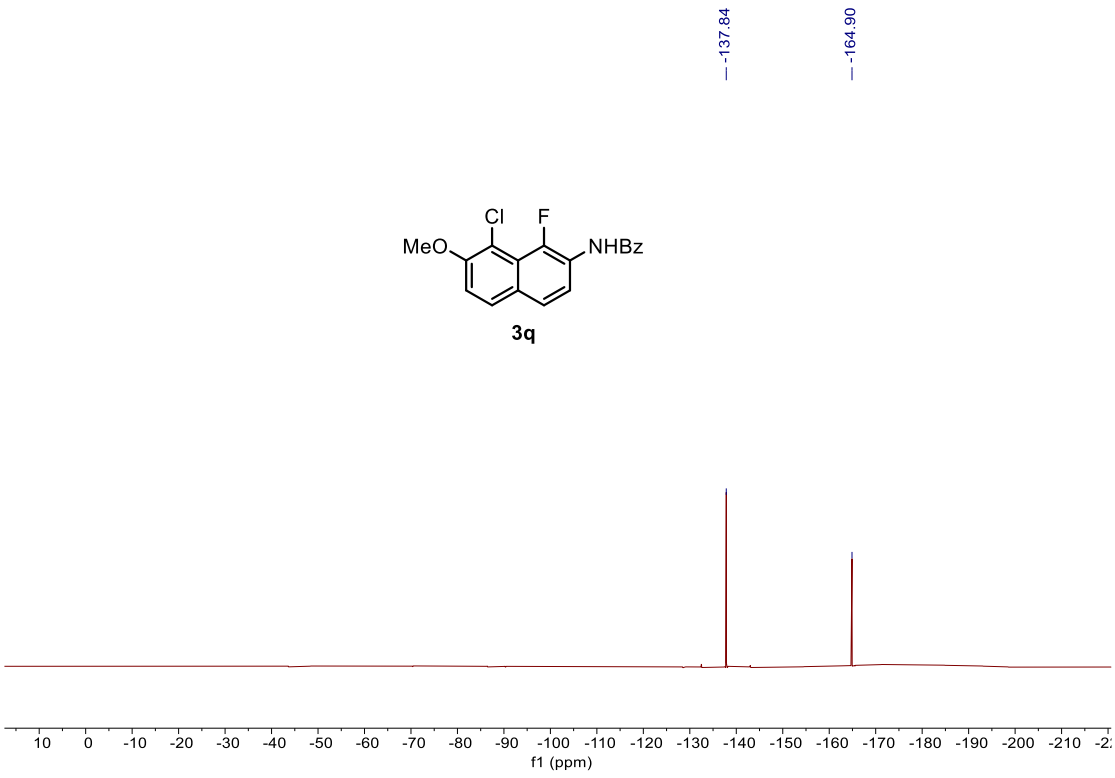
(17) ^{1}H NMR of Compound 3q (500 MHz, $CDCl_3$)



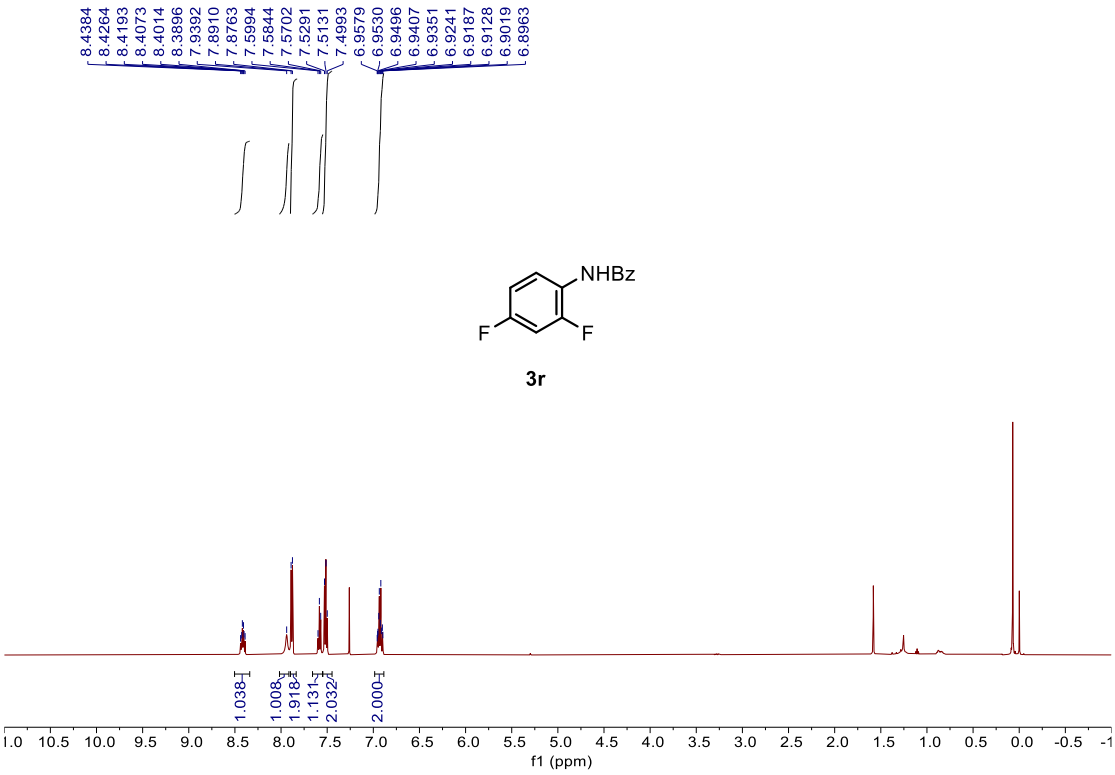
^{13}C NMR of Compound 3q (126 MHz, $CDCl_3$)



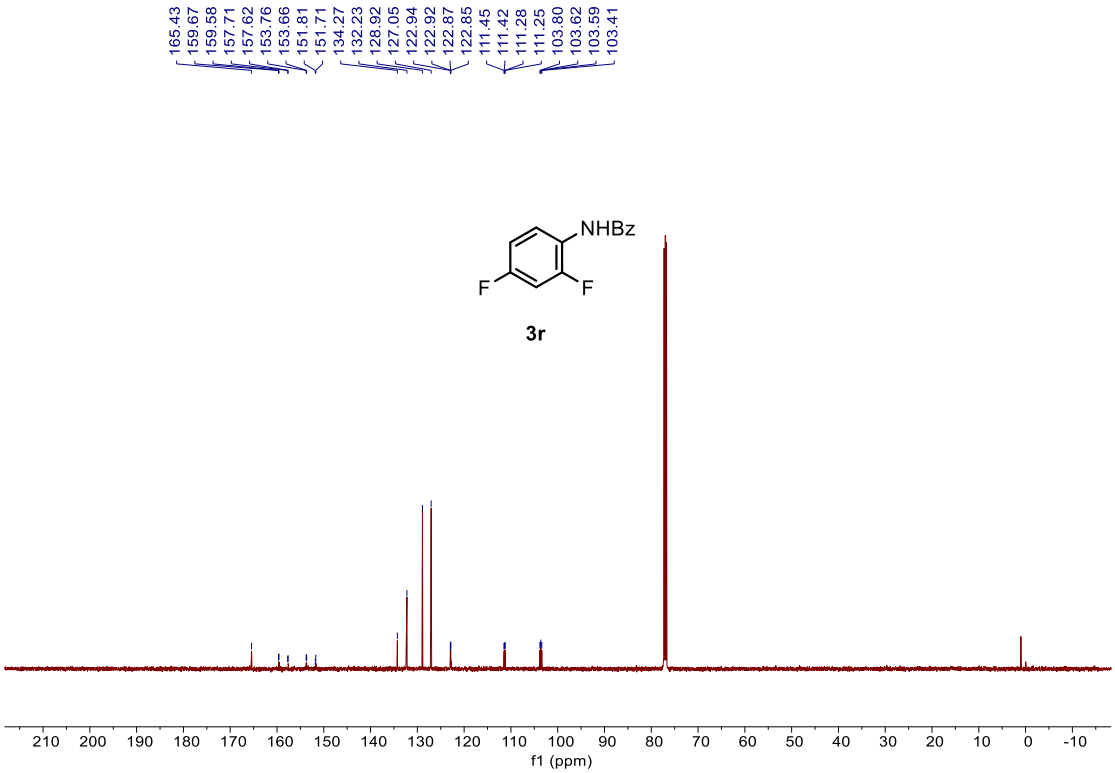
^{19}F NMR of Compound 3q (471 MHz, $CDCl_3$)



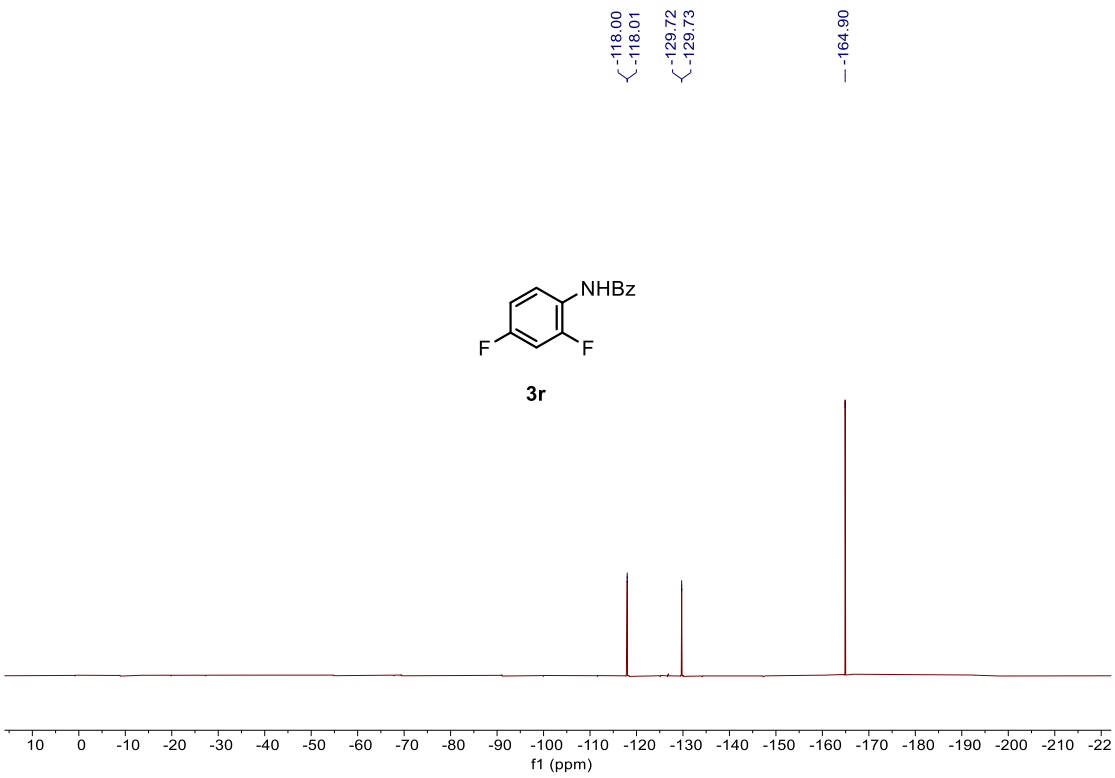
(18) `[1]H NMR of Compound 3r (500 MHz, $CDCl_3$)



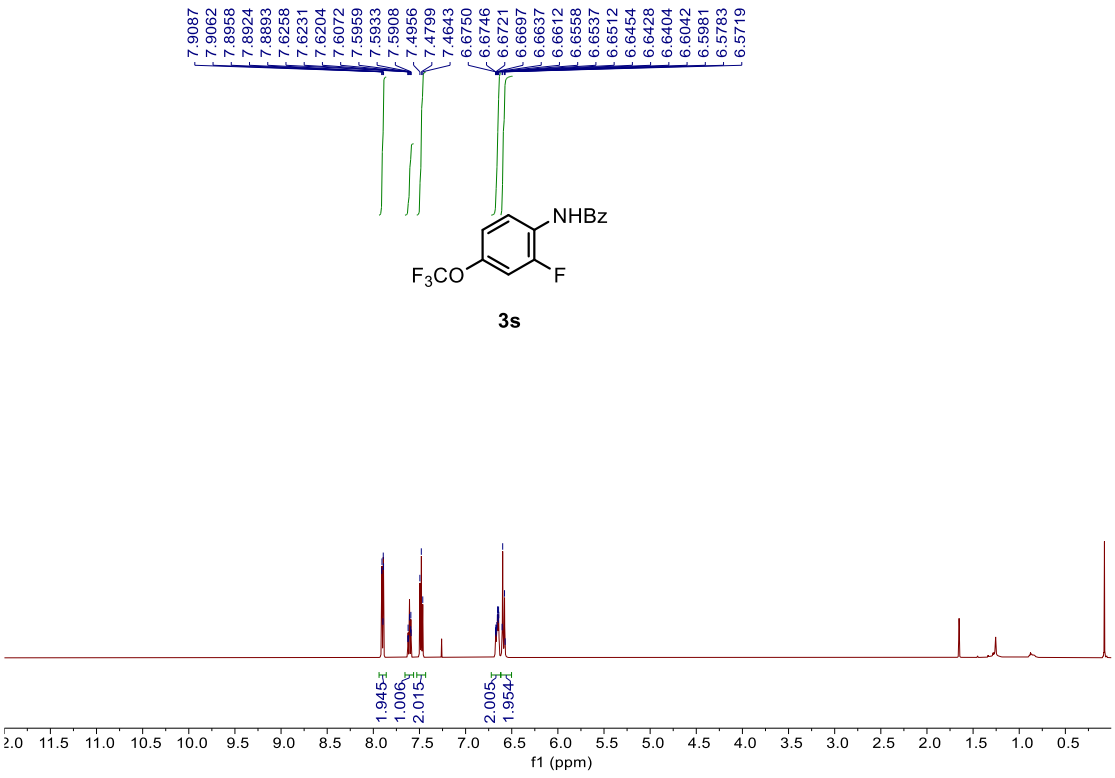
^{13}C NMR of Compound 3r (126 MHz, $CDCl_3$)



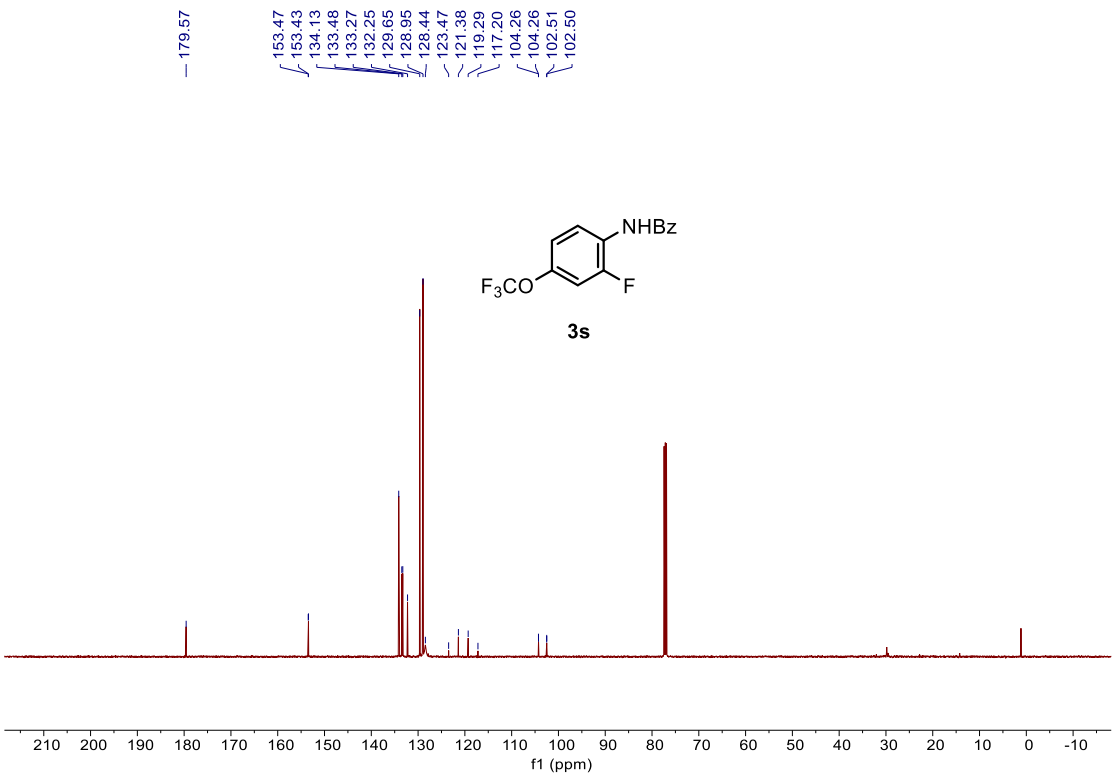
^{19}F NMR of Compound 3r (471 MHz, $CDCl_3$)



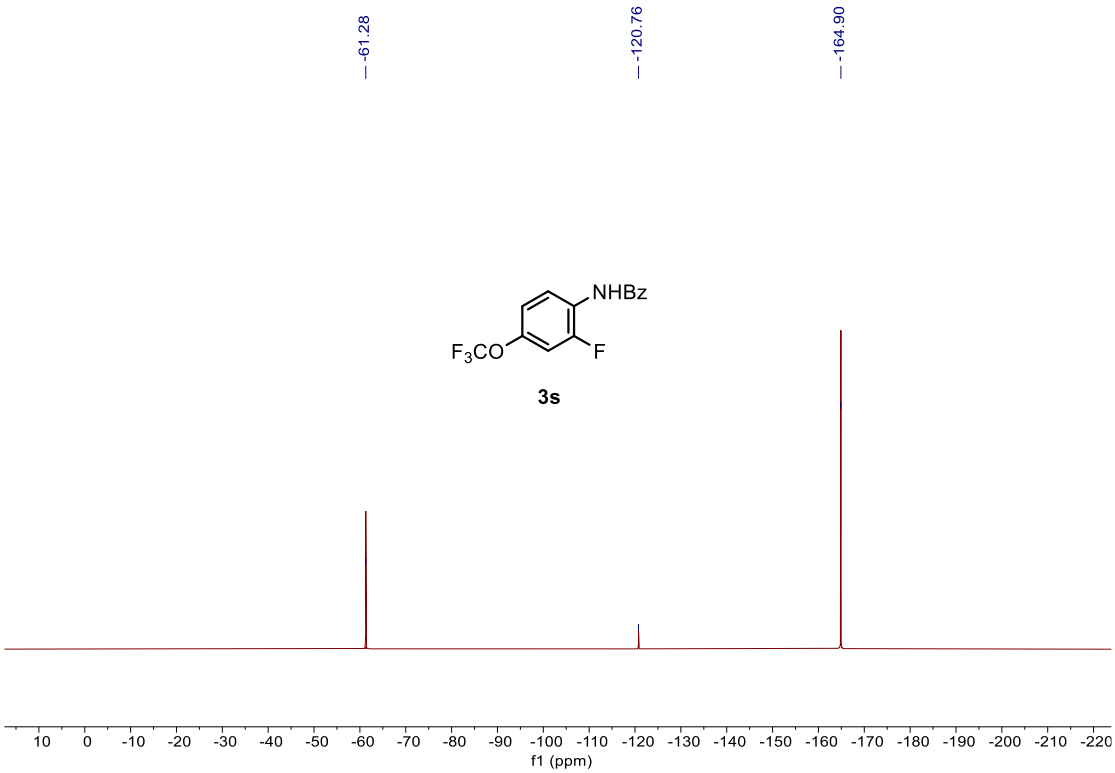
(19) ^{1}H NMR of Compound 3s (500 MHz, $CDCl_3$)



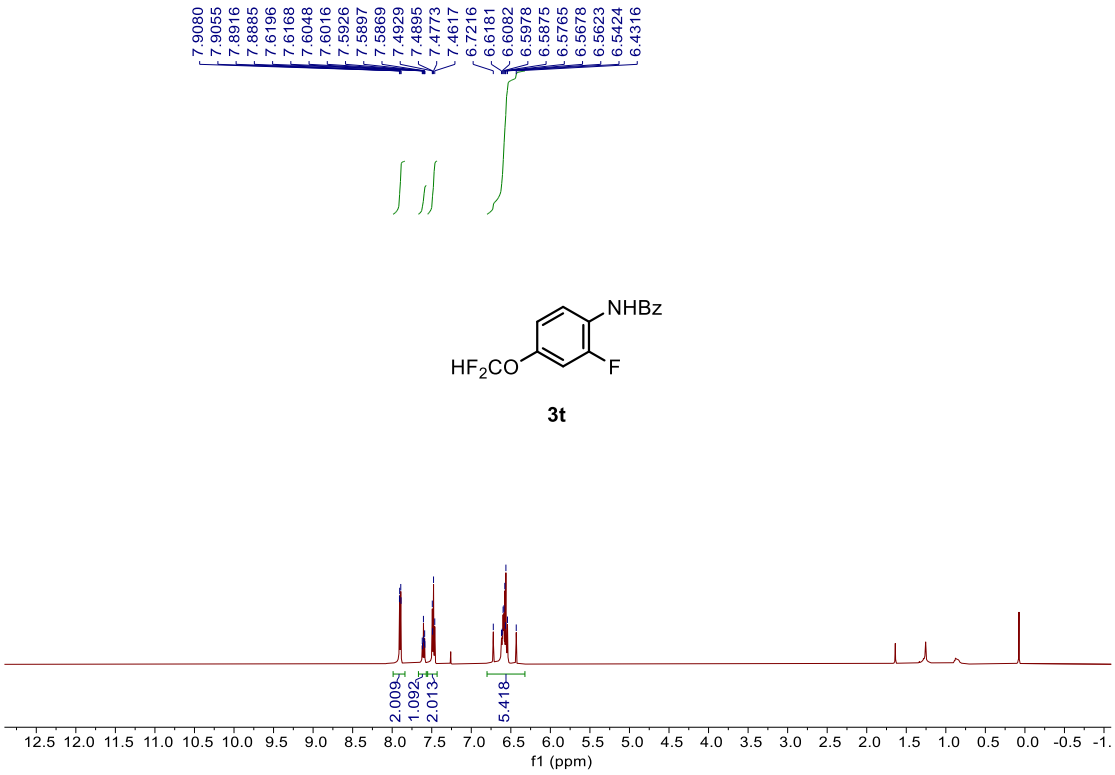
^{13}C NMR of Compound 3r (126 MHz, $CDCl_3$)



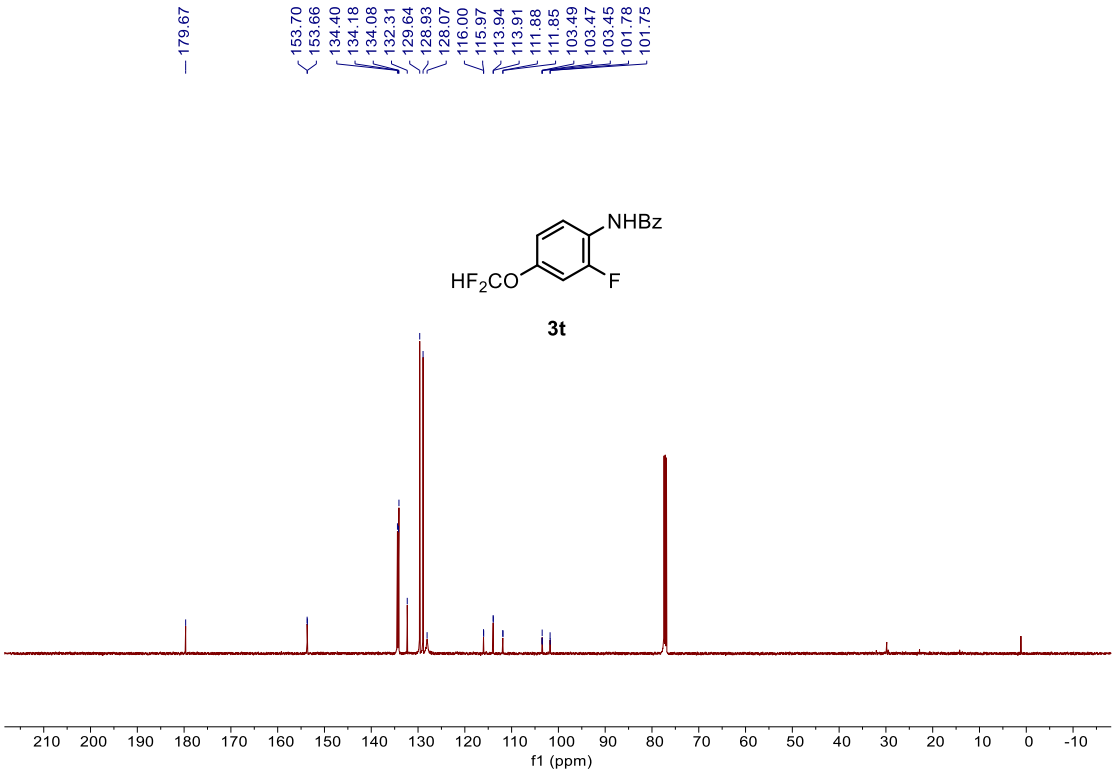
^{19}F NMR of Compound 3s (471 MHz, $CDCl_3$)



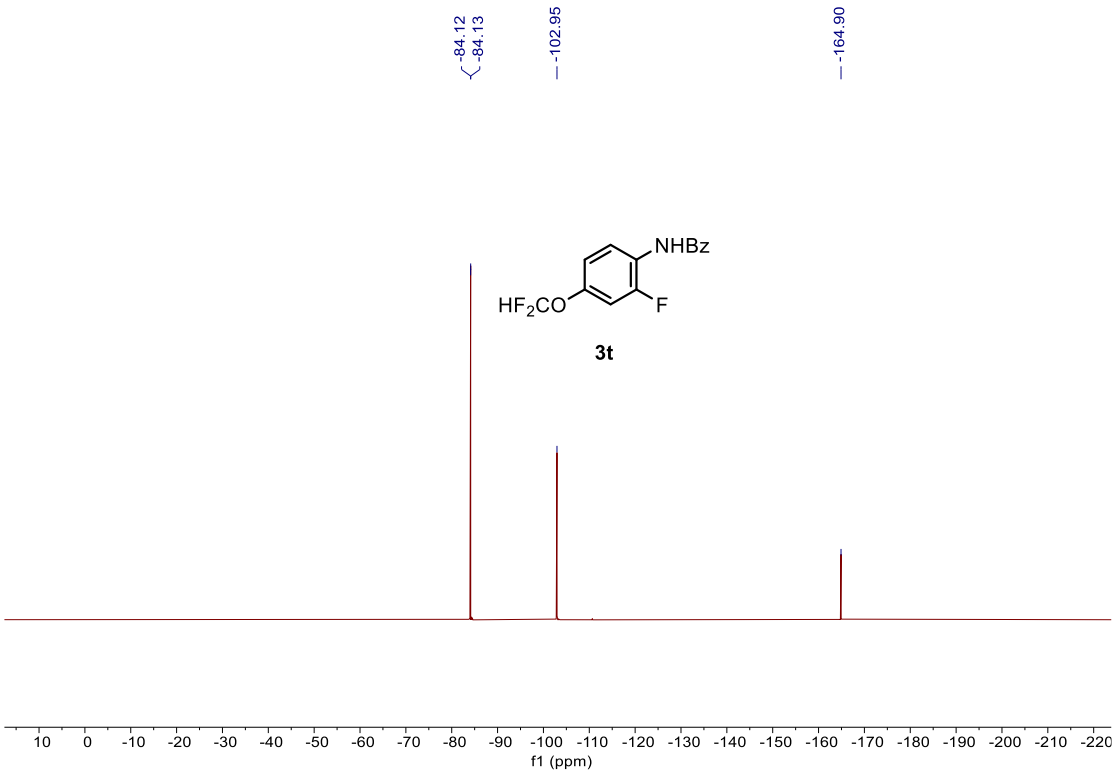
(20) ^{1}H NMR of Compound 3t (500 MHz, $CDCl_3$)



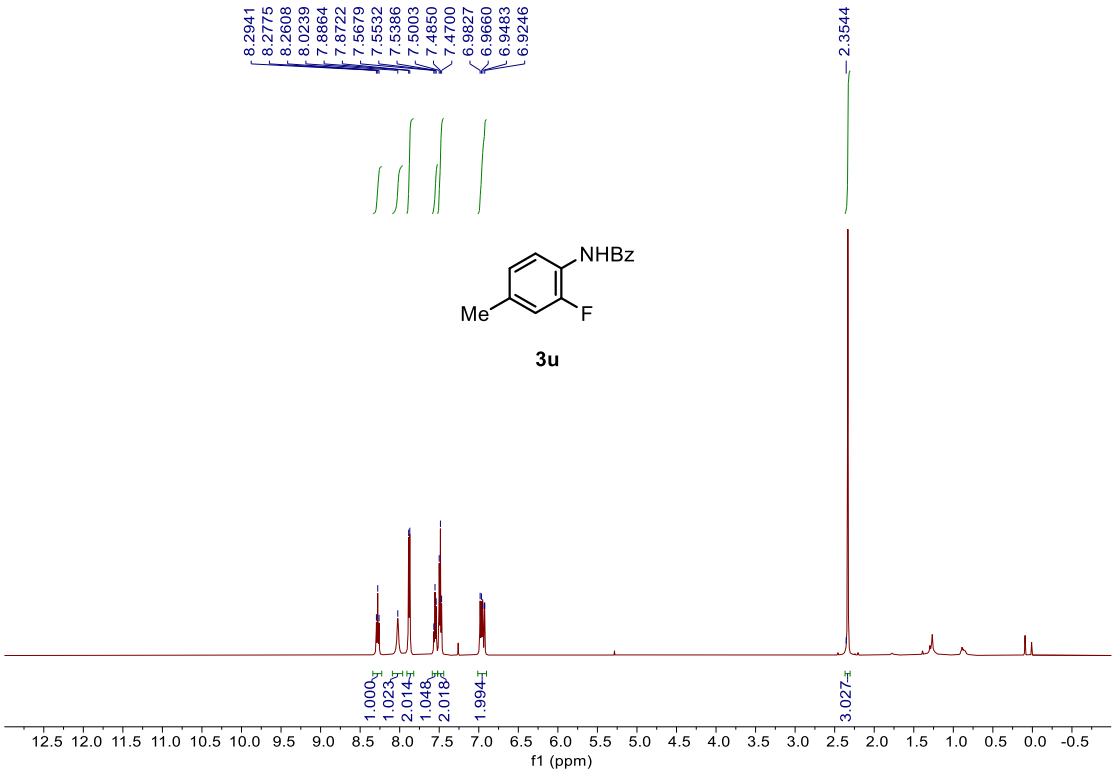
^{13}C NMR of Compound 3t (126 MHz, $CDCl_3$)



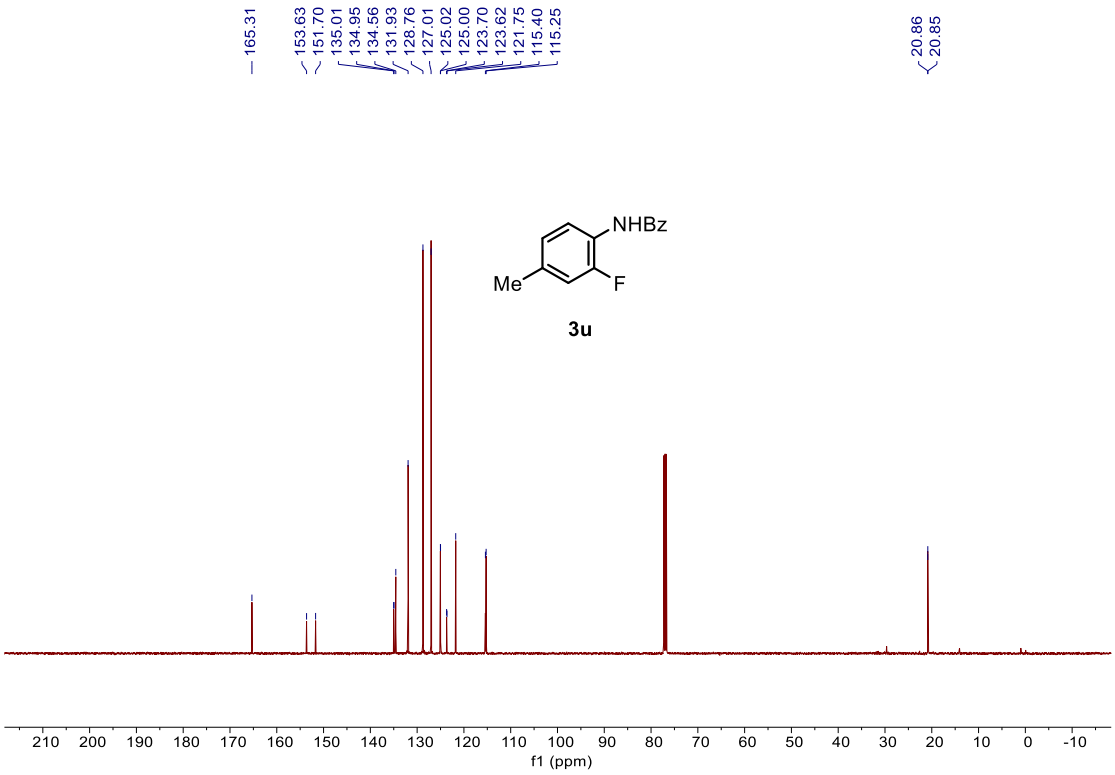
^{19}F NMR of Compound 3s (471 MHz, $CDCl_3$)



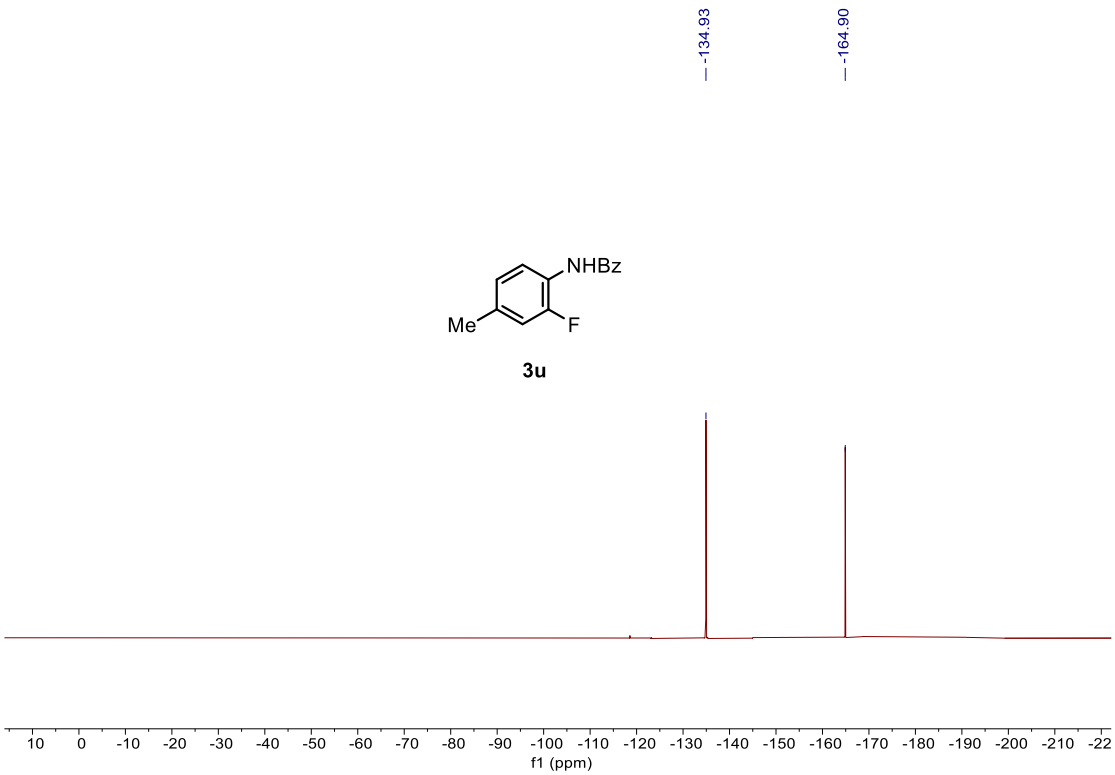
(21) ^{1}H NMR of Compound 3u (500 MHz, $CDCl_3$)



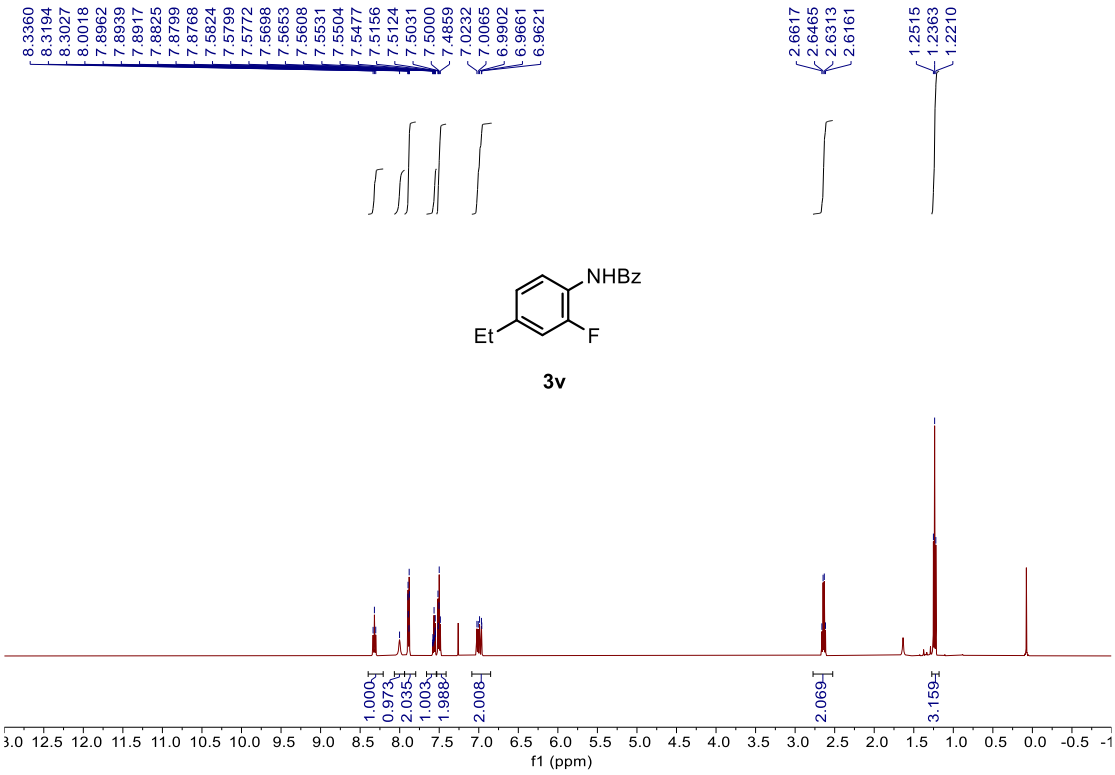
^{13}C NMR of Compound 3u (126 MHz, $CDCl_3$)



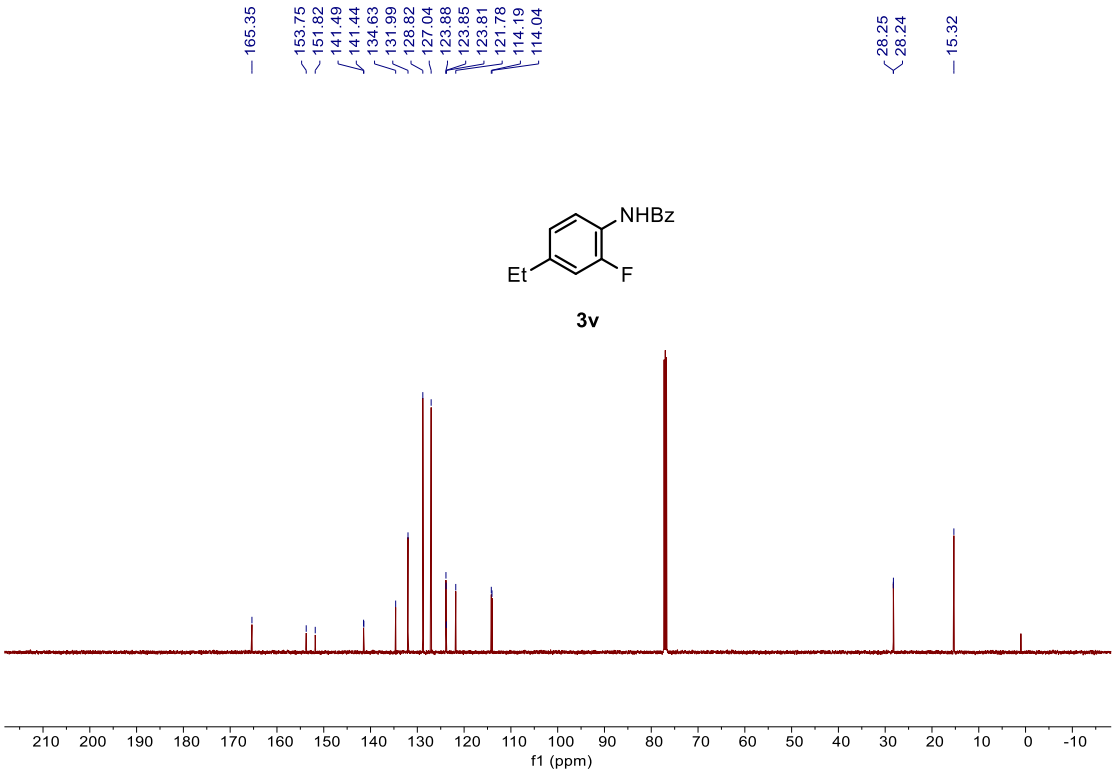
^{19}F NMR of Compound 3u (471 MHz, $CDCl_3$)



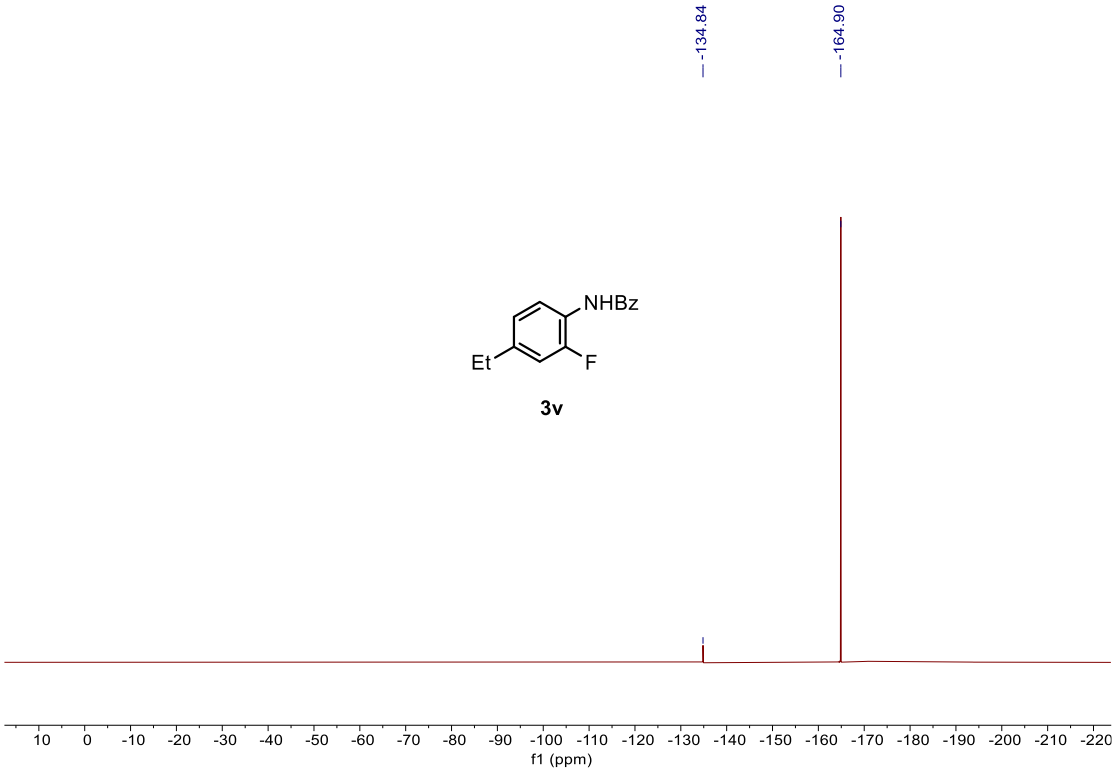
(22) ^{1}H NMR of Compound 3v (500 MHz, $CDCl_3$)



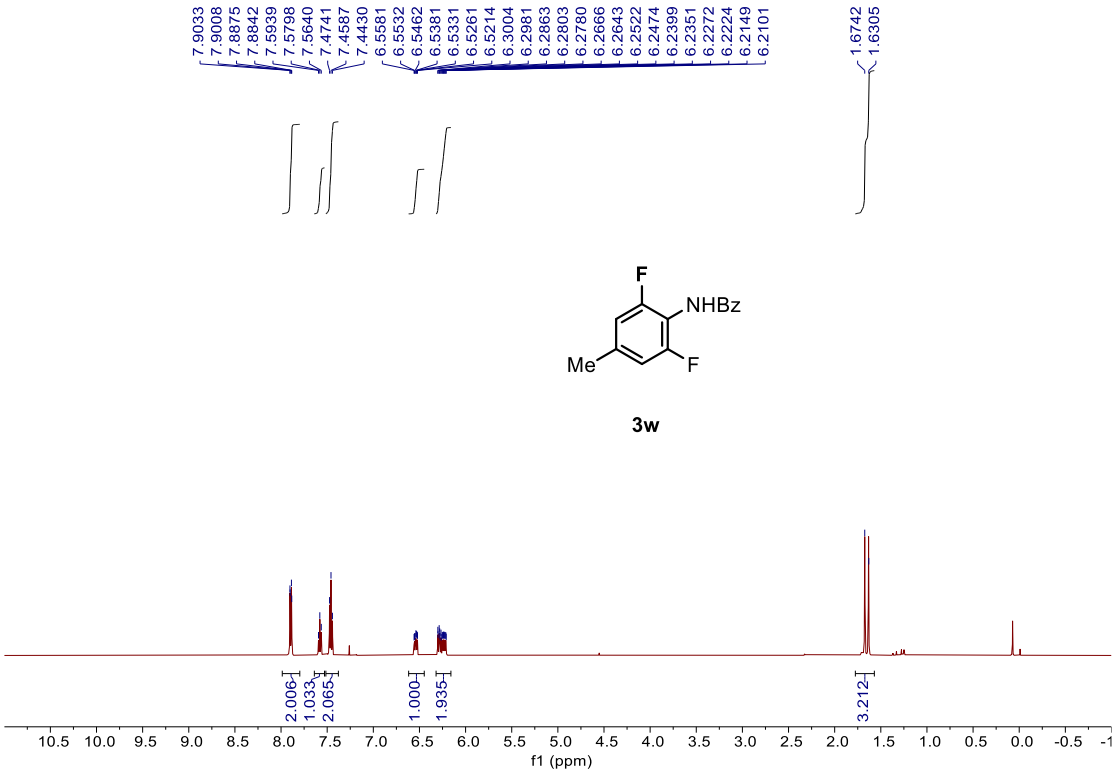
^{13}C NMR of Compound 3v (126 MHz, $CDCl_3$)



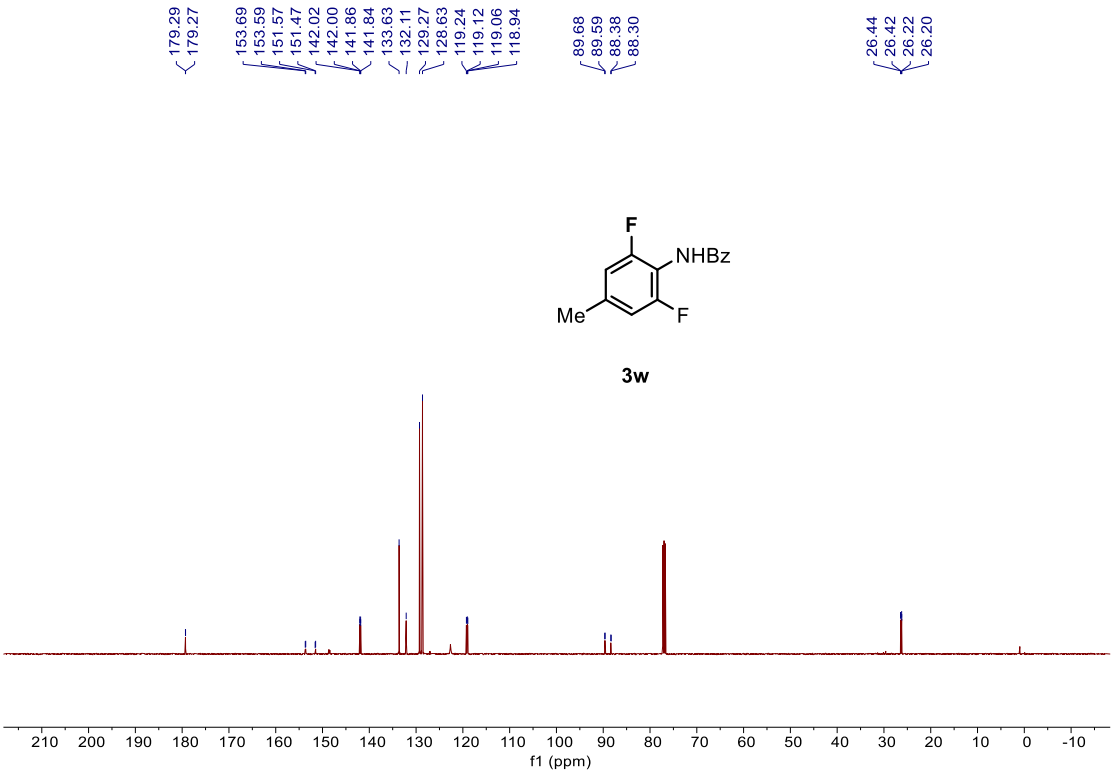
^{19}F NMR of Compound 3v (471 MHz, $CDCl_3$)



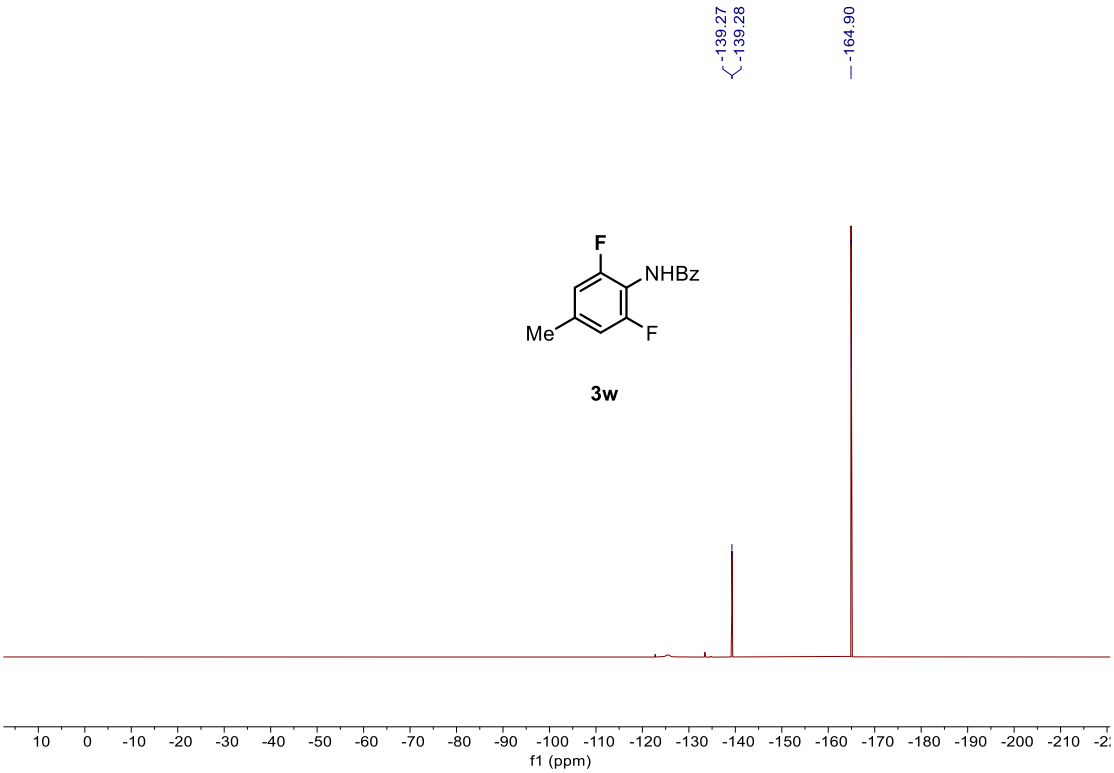
(23)^{1}H NMR of Compound 3w (500 MHz, $CDCl_3$)



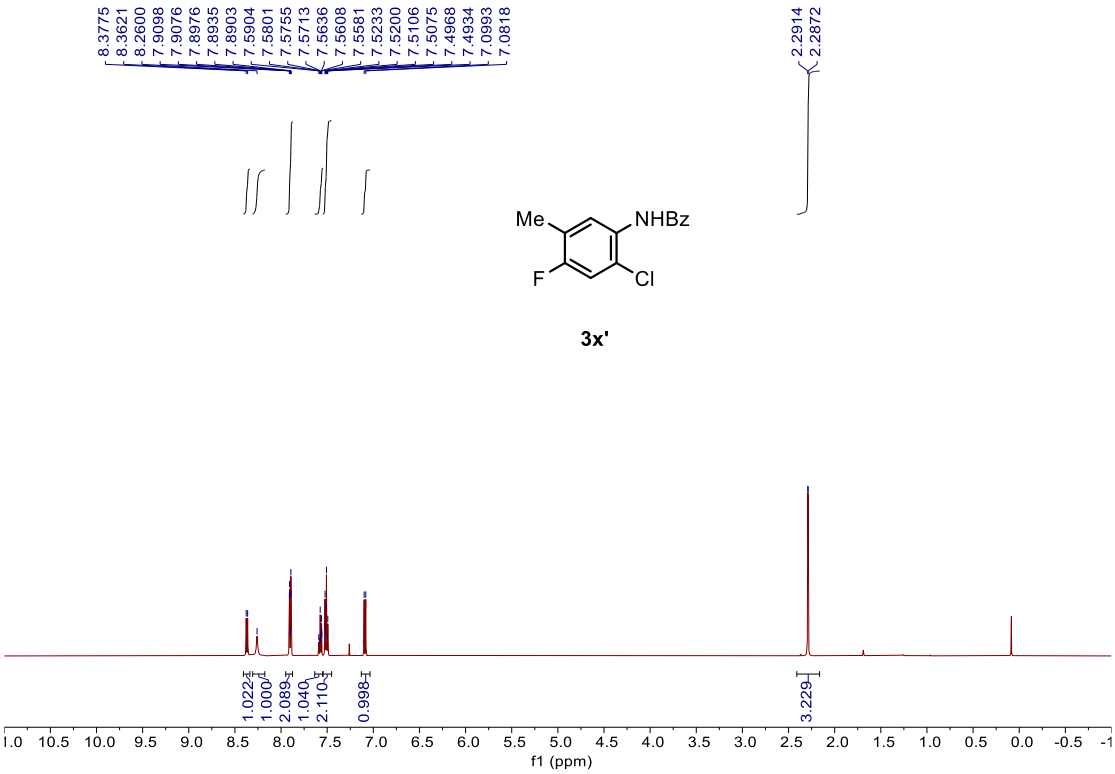
^{13}C NMR of Compound 3w (126 MHz, $CDCl_3$)



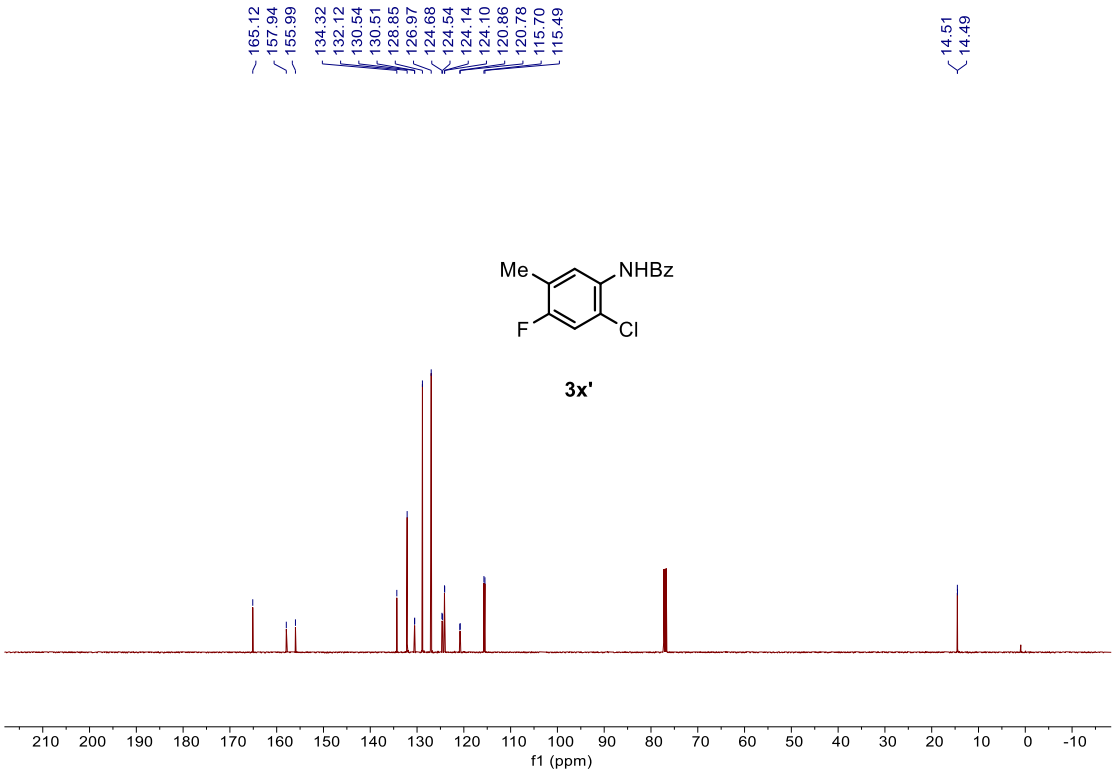
^{19}F NMR of Compound 3w (471 MHz, $CDCl_3$)



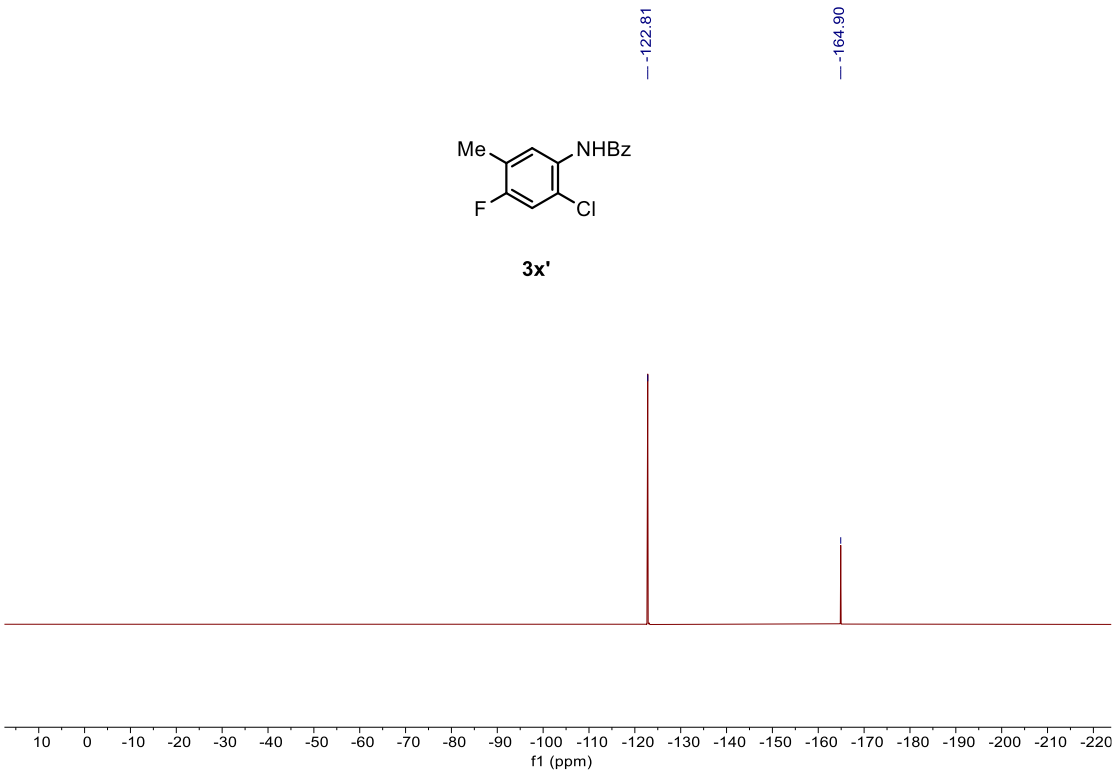
(24) ^{1}H NMR of Compound 3x' (500 MHz, $CDCl_3$)



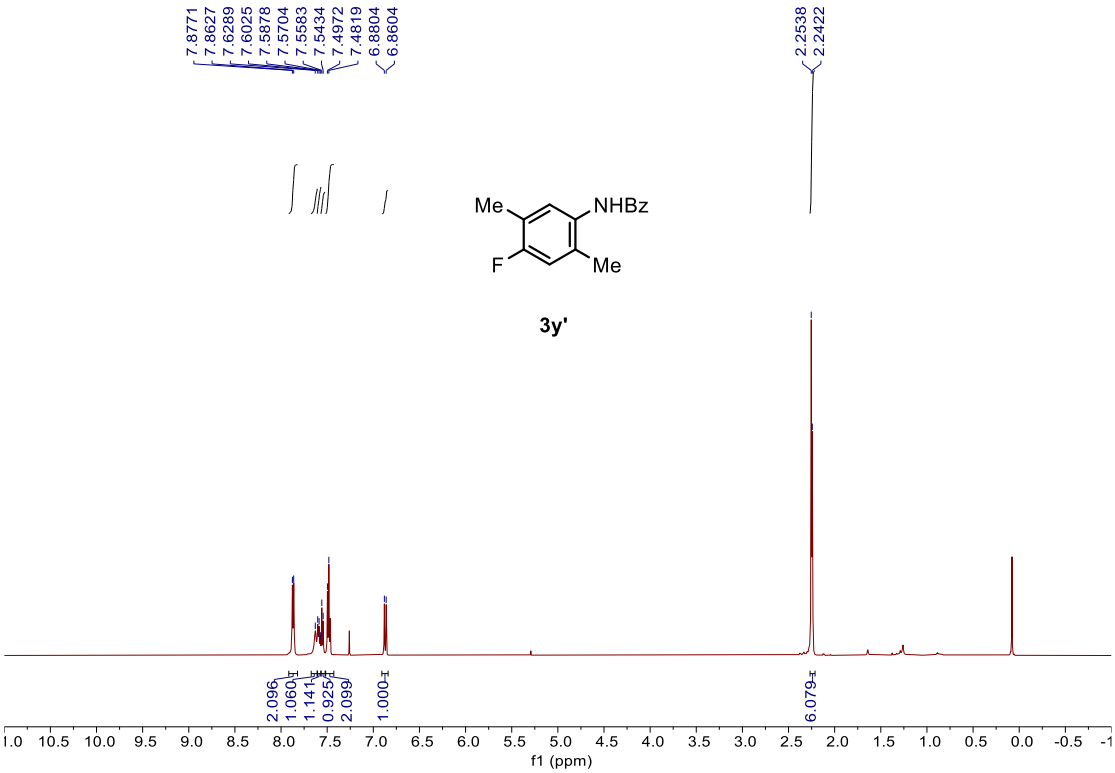
^{13}C NMR of Compound 3x' (126 MHz, $CDCl_3$)



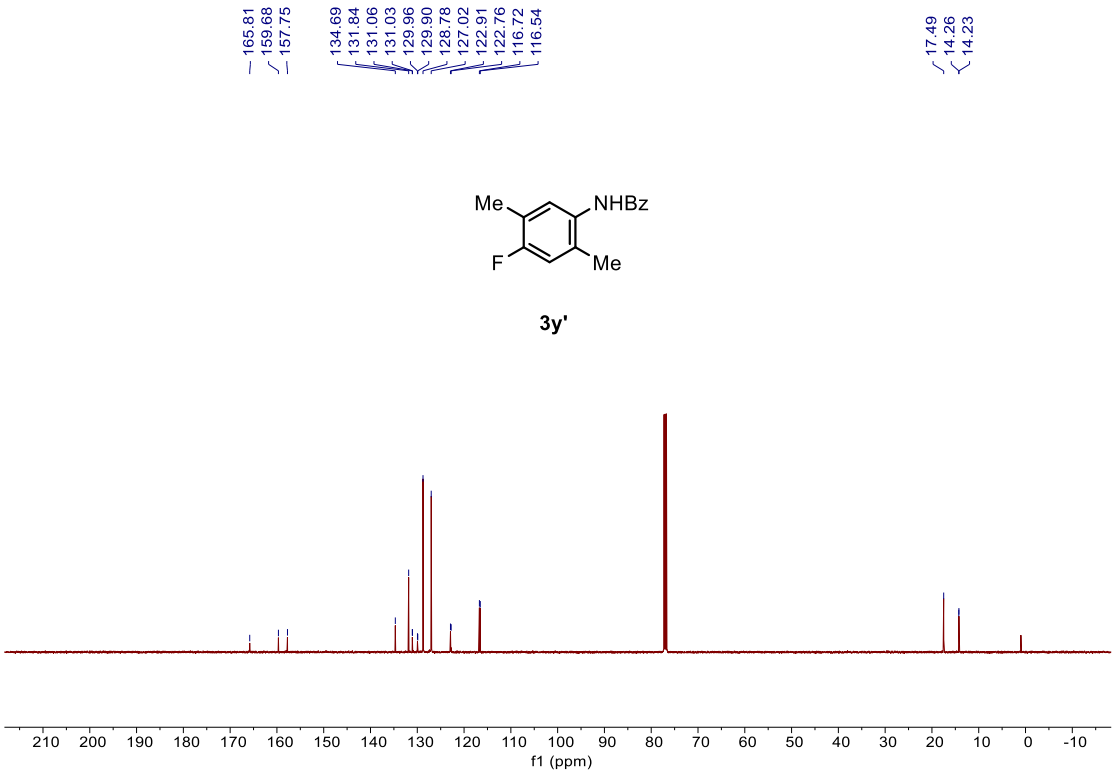
^{19}F NMR of Compound 3x' (471 MHz, $CDCl_3$)



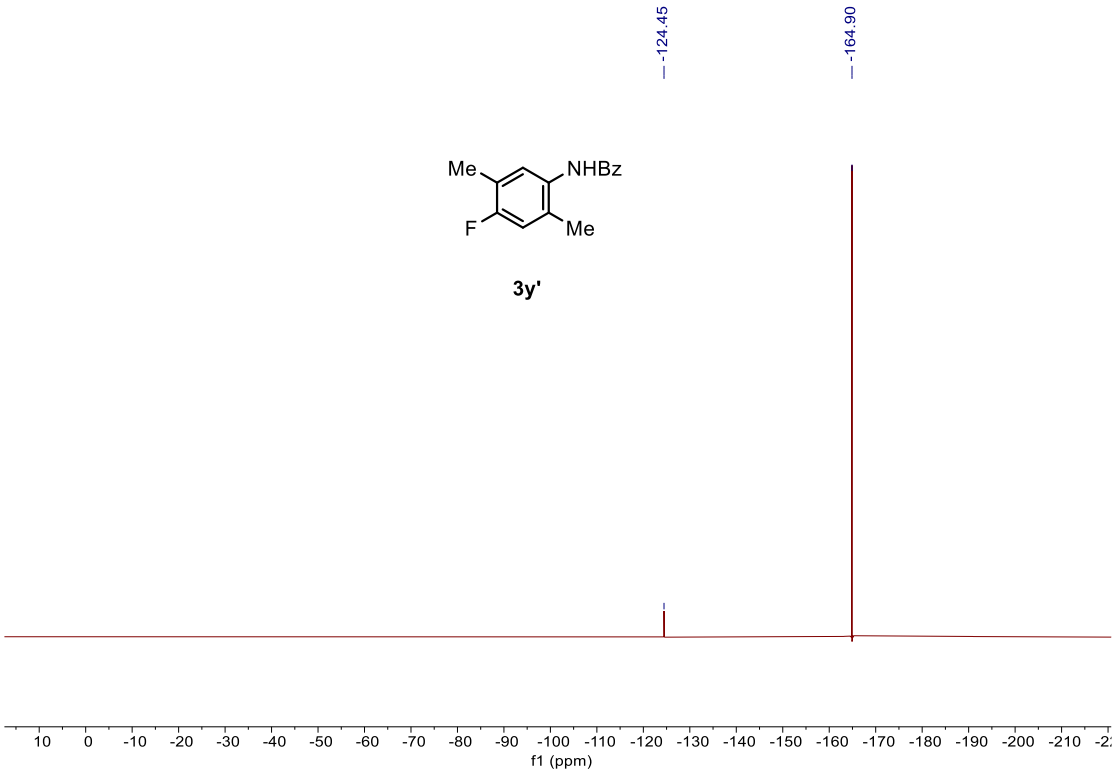
(25) ^{1}H NMR of Compound 3y' (500 MHz, $CDCl_3$)



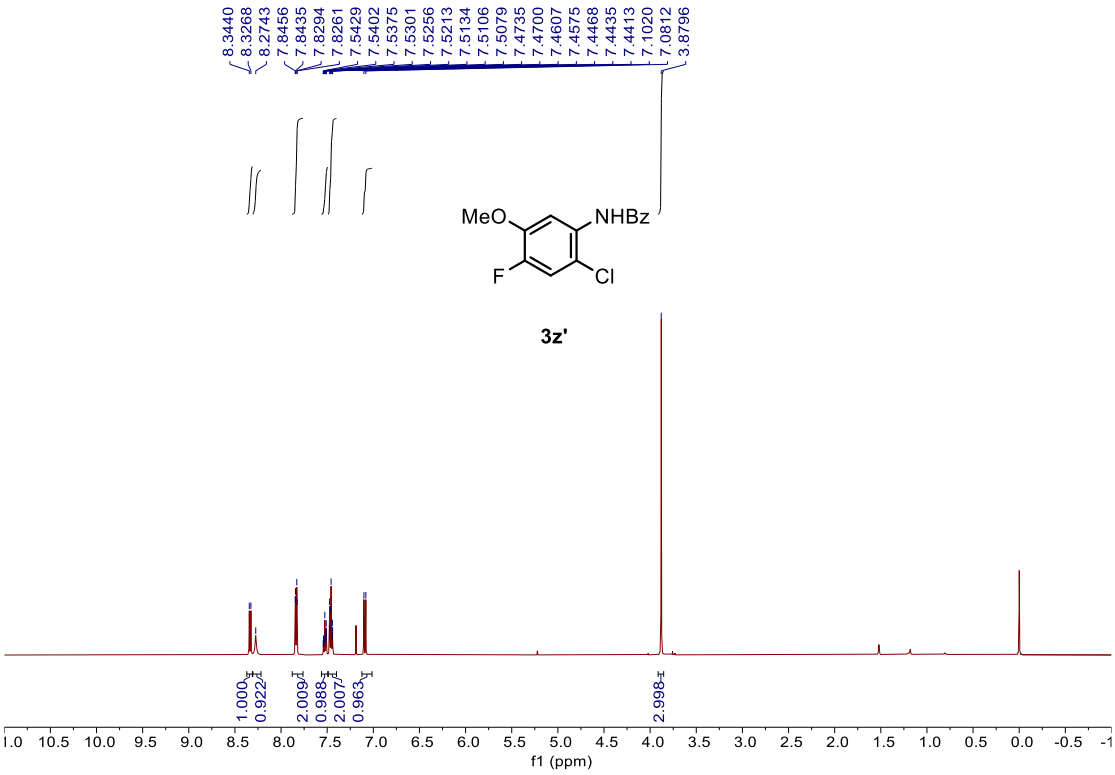
^{13}C NMR of Compound 3y' (126 MHz, $CDCl_3$)



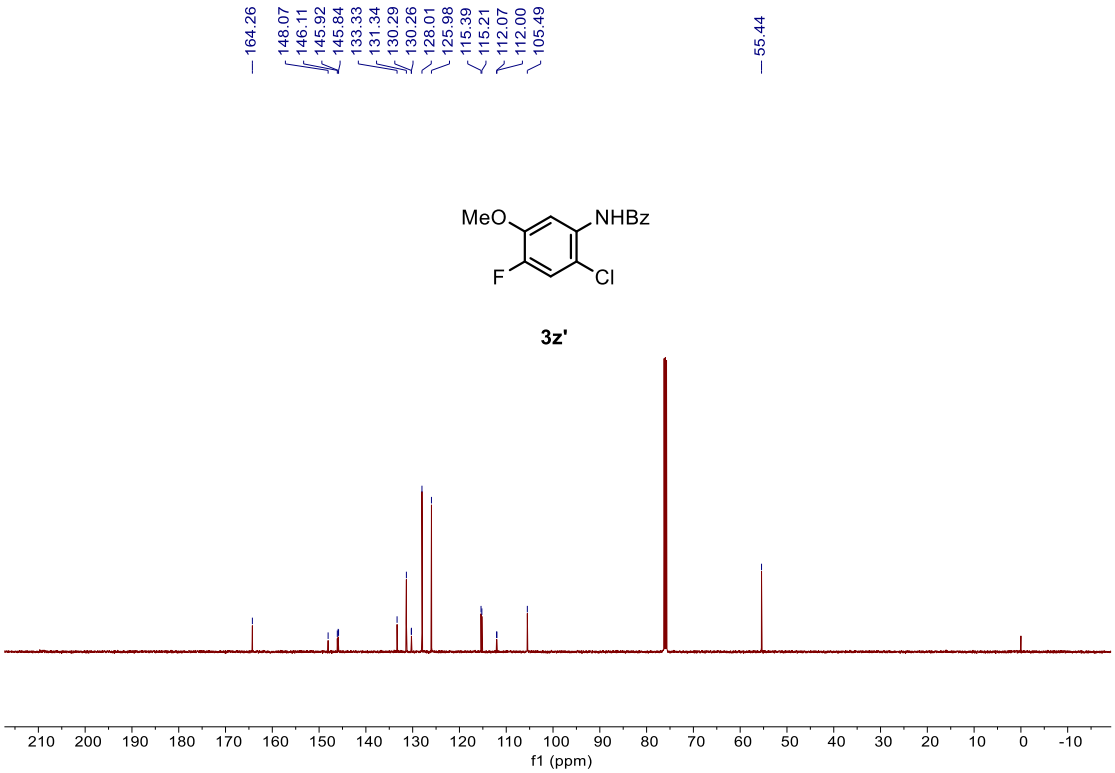
^{19}F NMR of Compound 3y' (471 MHz, $CDCl_3$)



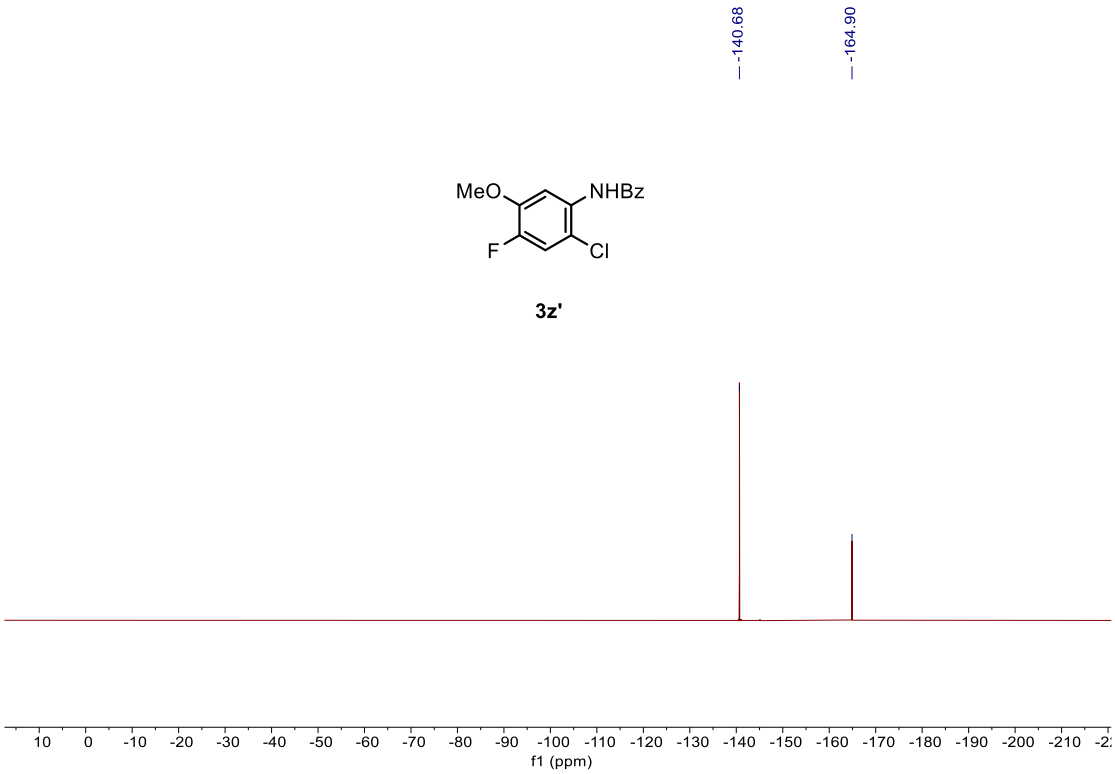
(26) ^{1}H NMR of Compound 3z' (500 MHz, $CDCl_3$)



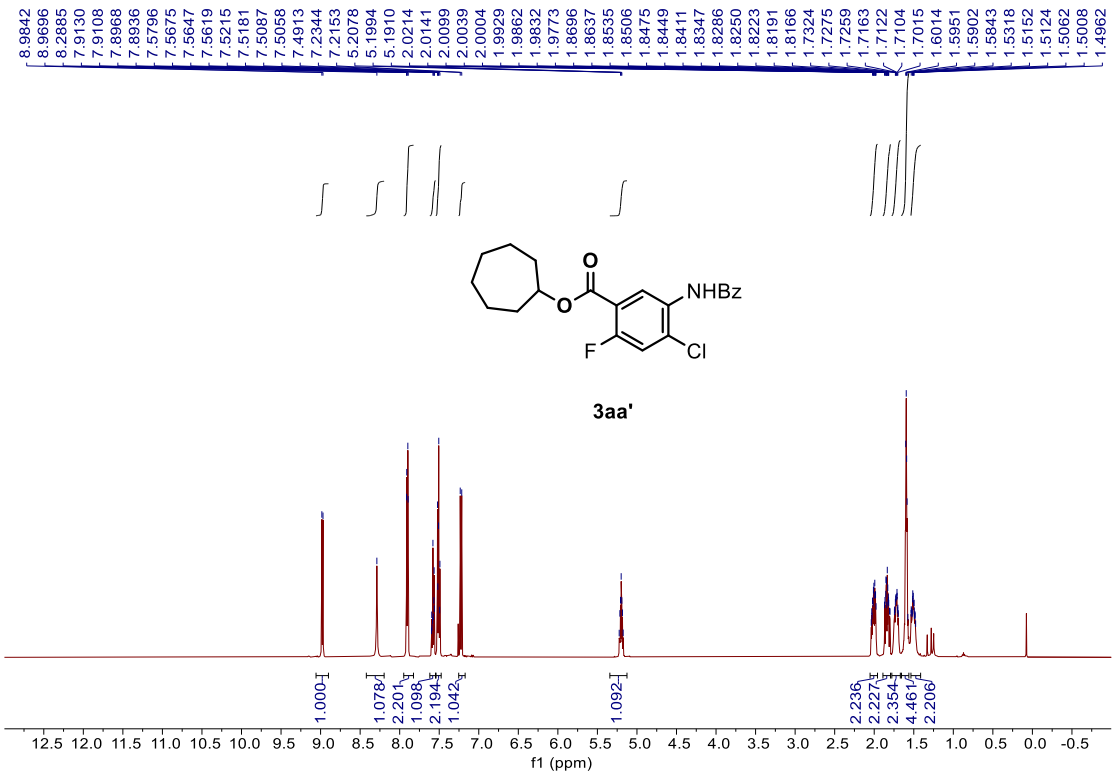
^{13}C NMR of Compound 3z' (126 MHz, $CDCl_3$)



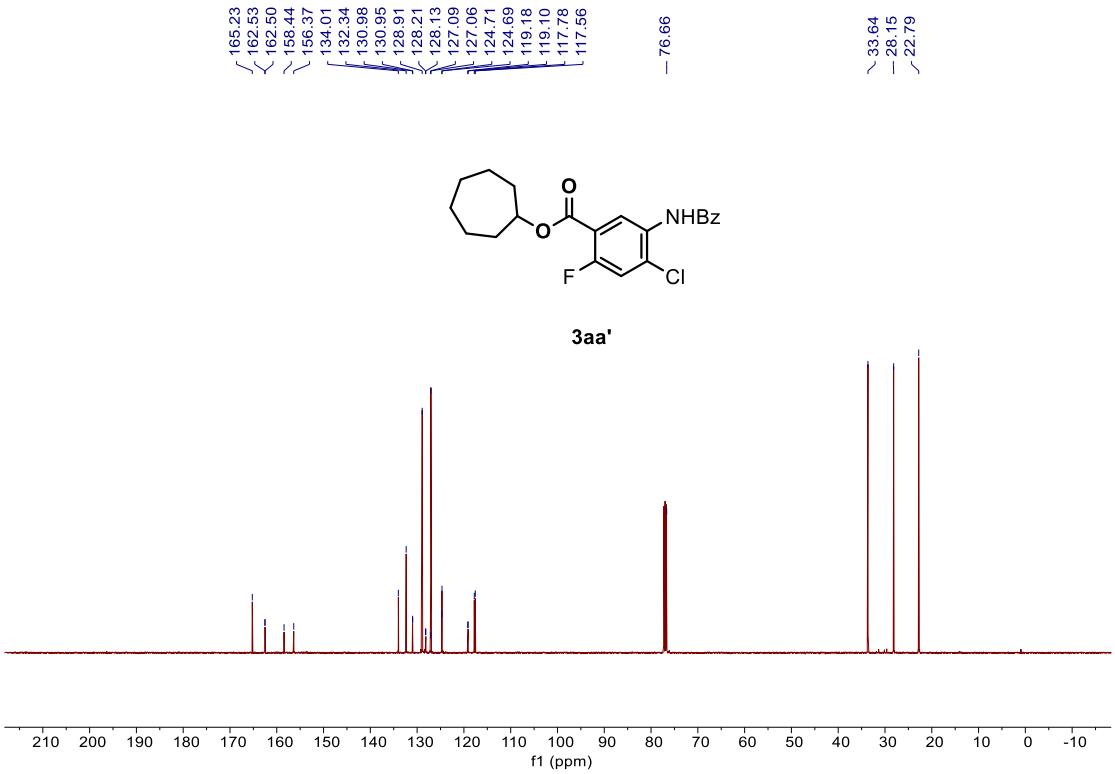
^{19}F NMR of Compound 3z' (471 MHz, $CDCl_3$)



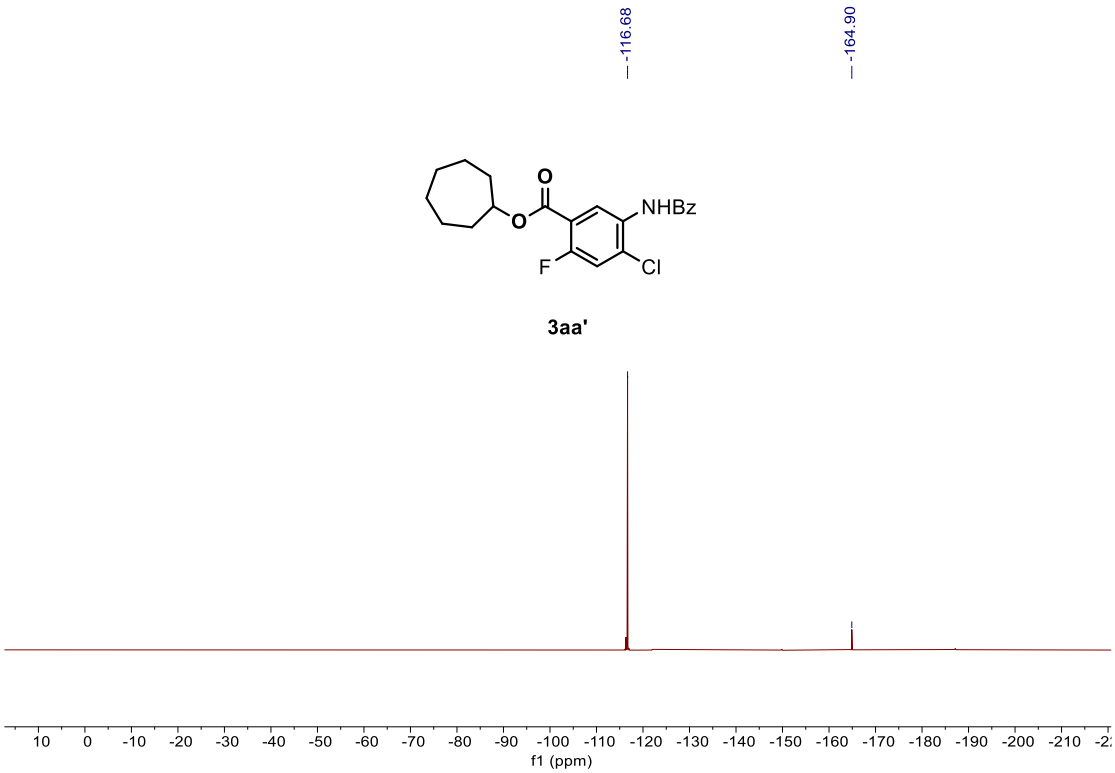
(27) ^{1}H NMR of Compound 3aa' (500 MHz, $CDCl_3$)



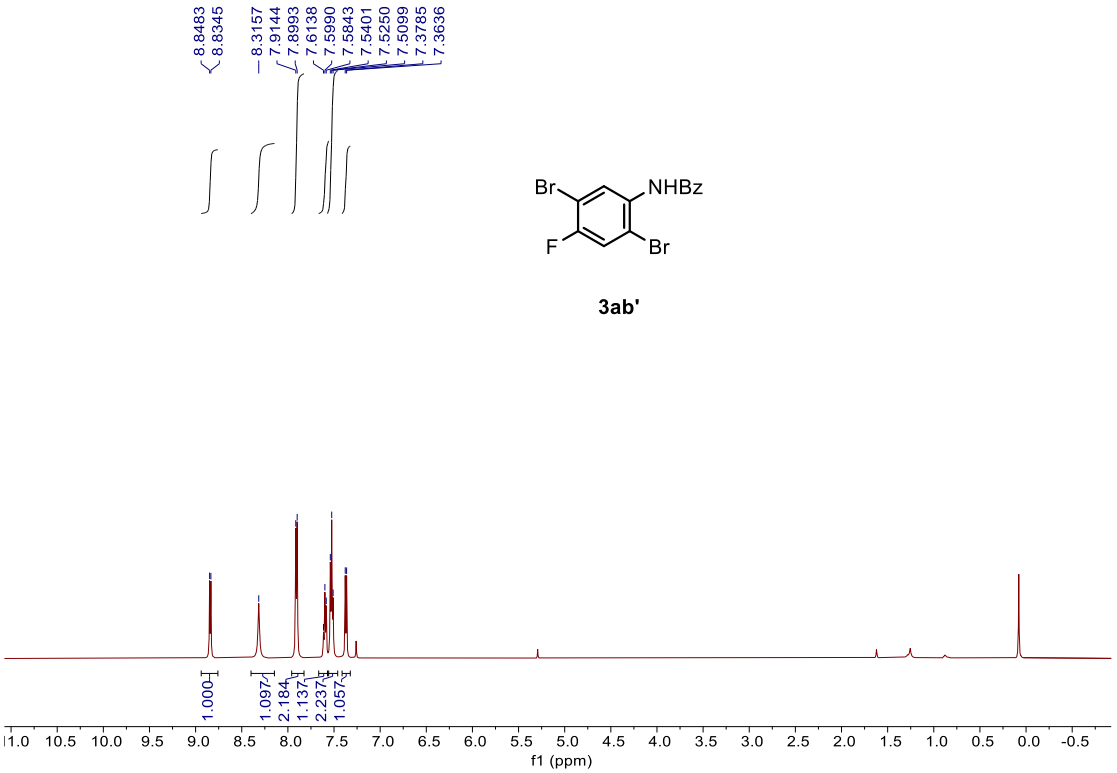
^{13}C NMR of Compound 3aa' (126 MHz, $CDCl_3$)



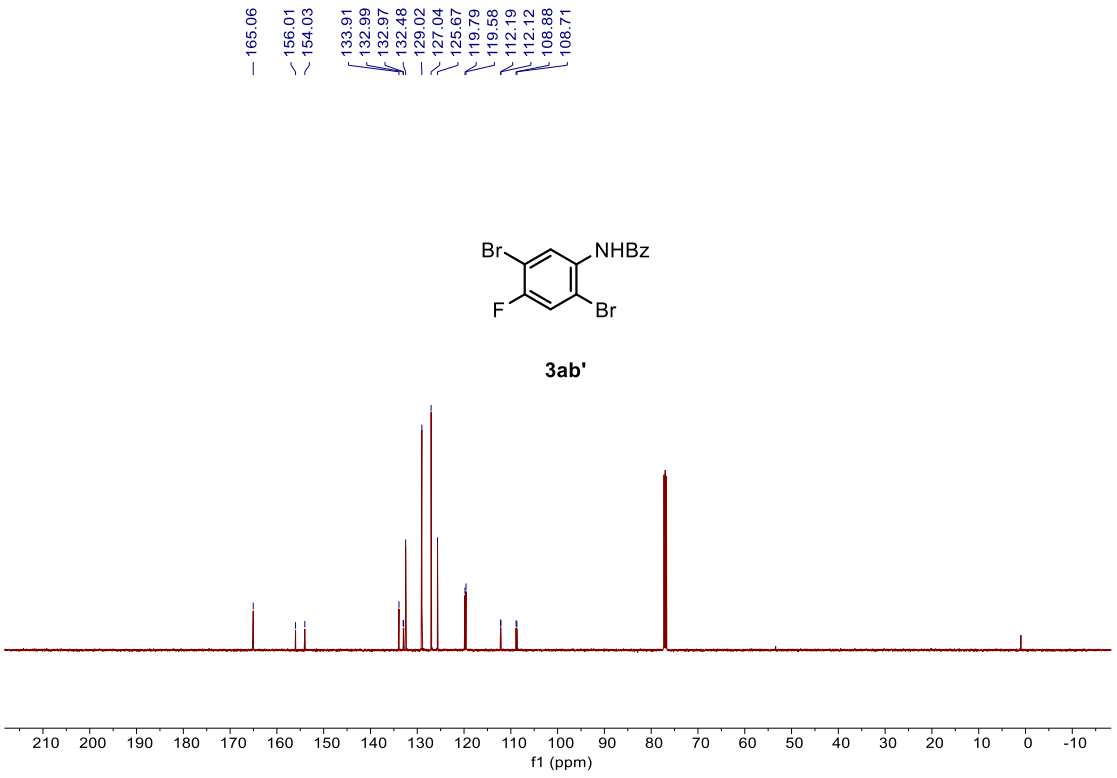
^{19}F NMR of Compound 3z' (471 MHz, $CDCl_3$)



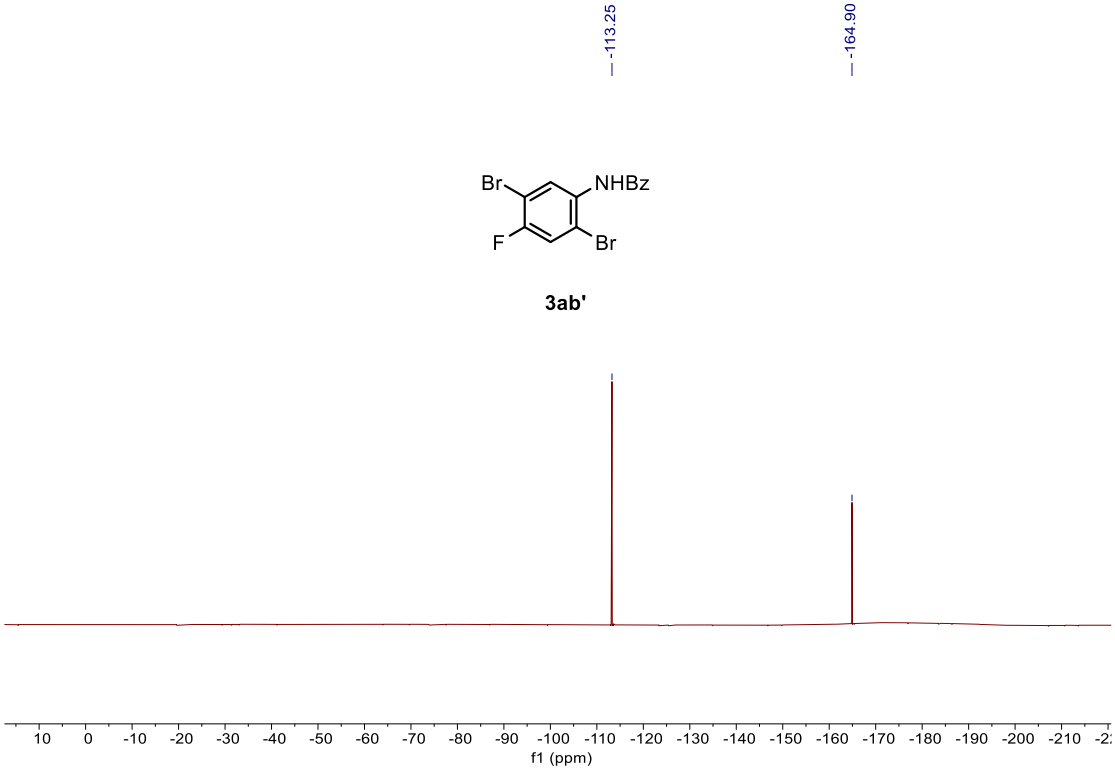
(28)^{1}H NMR of Compound 3ab' (500 MHz, $CDCl_3$)



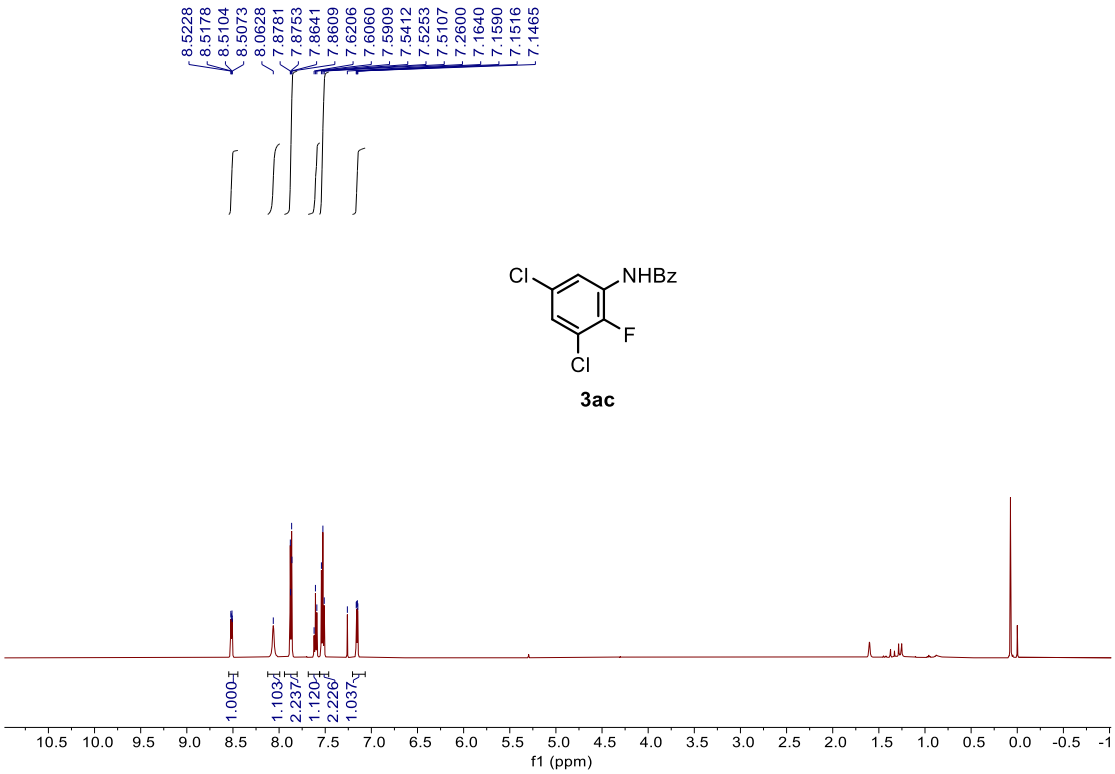
^{13}C NMR of Compound 3ab' (126 MHz, $CDCl_3$)



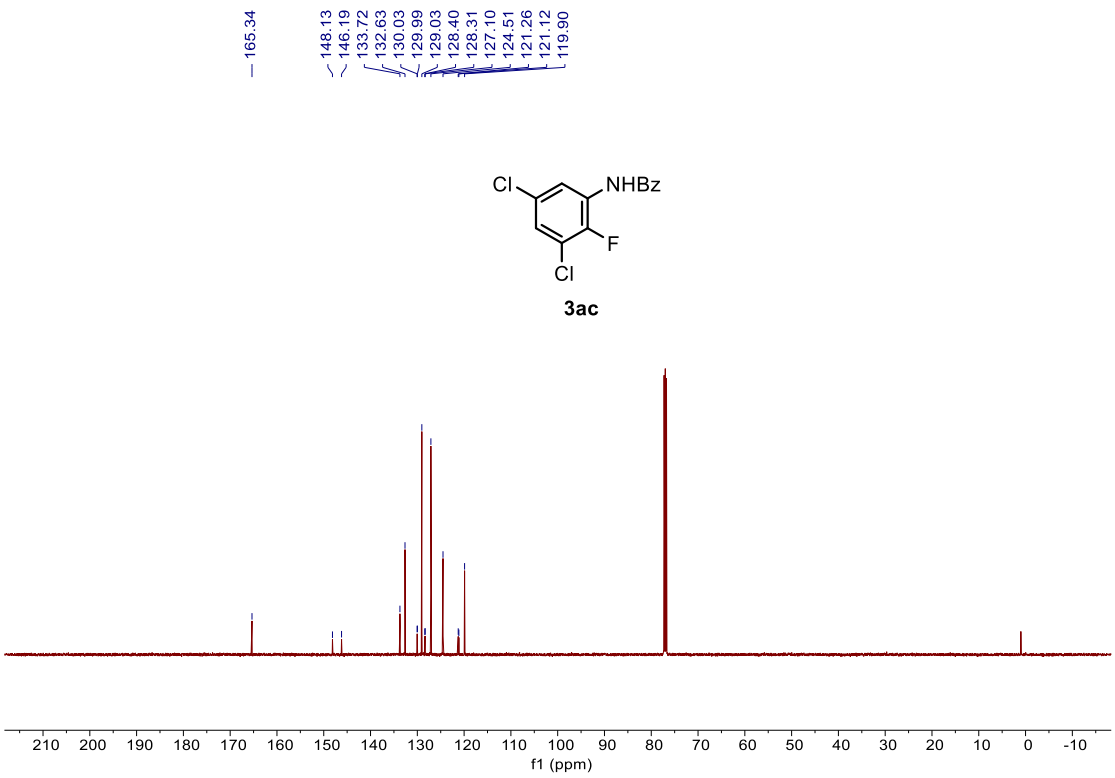
^{19}F NMR of Compound 3ab' (471 MHz, $CDCl_3$)



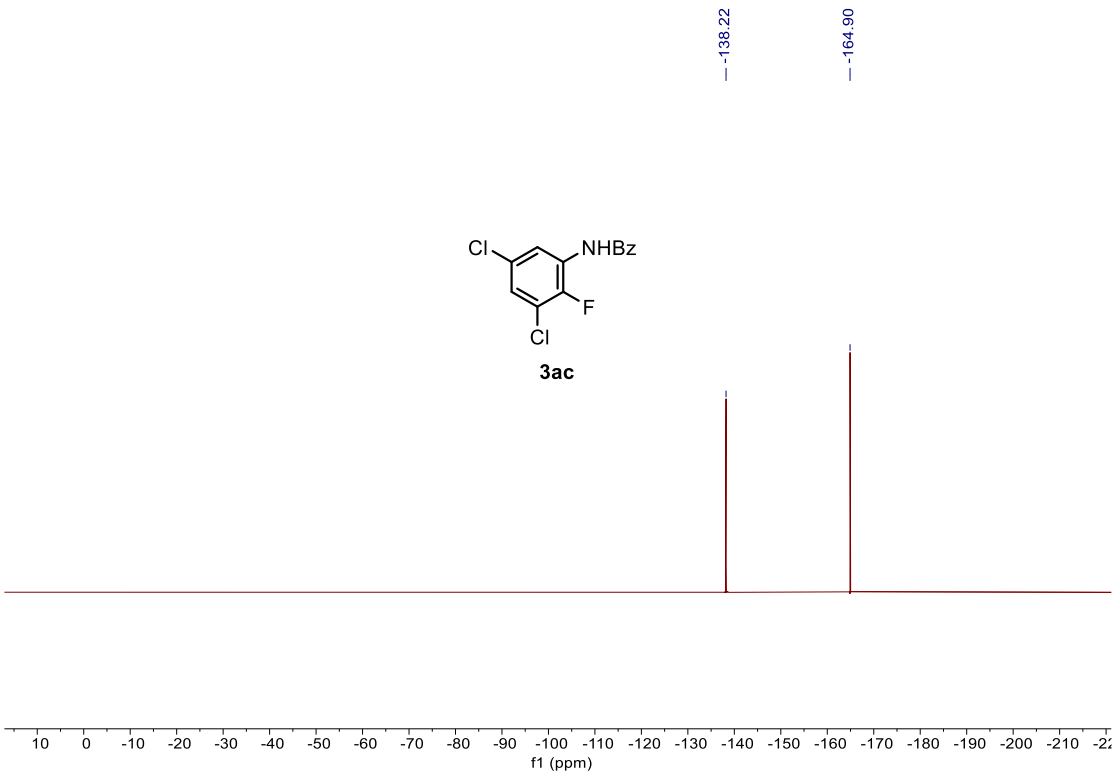
(29) ^{1}H NMR of Compound 3ac (500 MHz, $CDCl_3$)



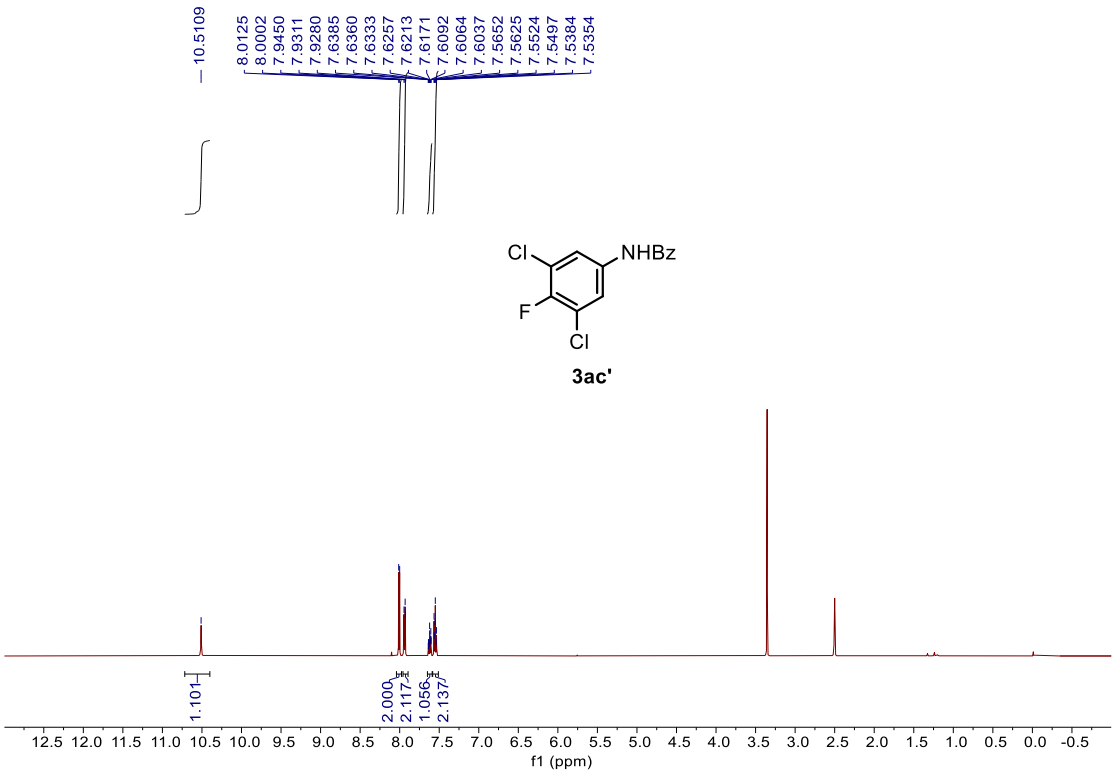
^{13}C NMR of Compound 3ac (126 MHz, $CDCl_3$)



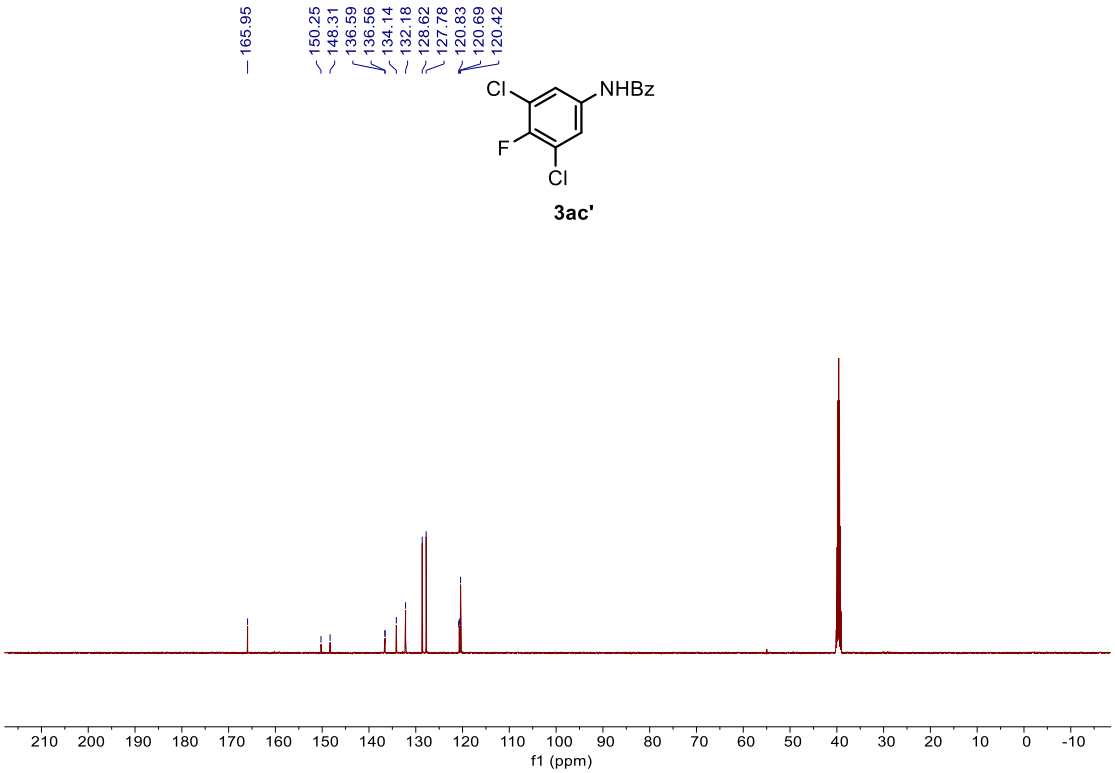
^{19}F NMR of Compound 3ac (471 MHz, $CDCl_3$)



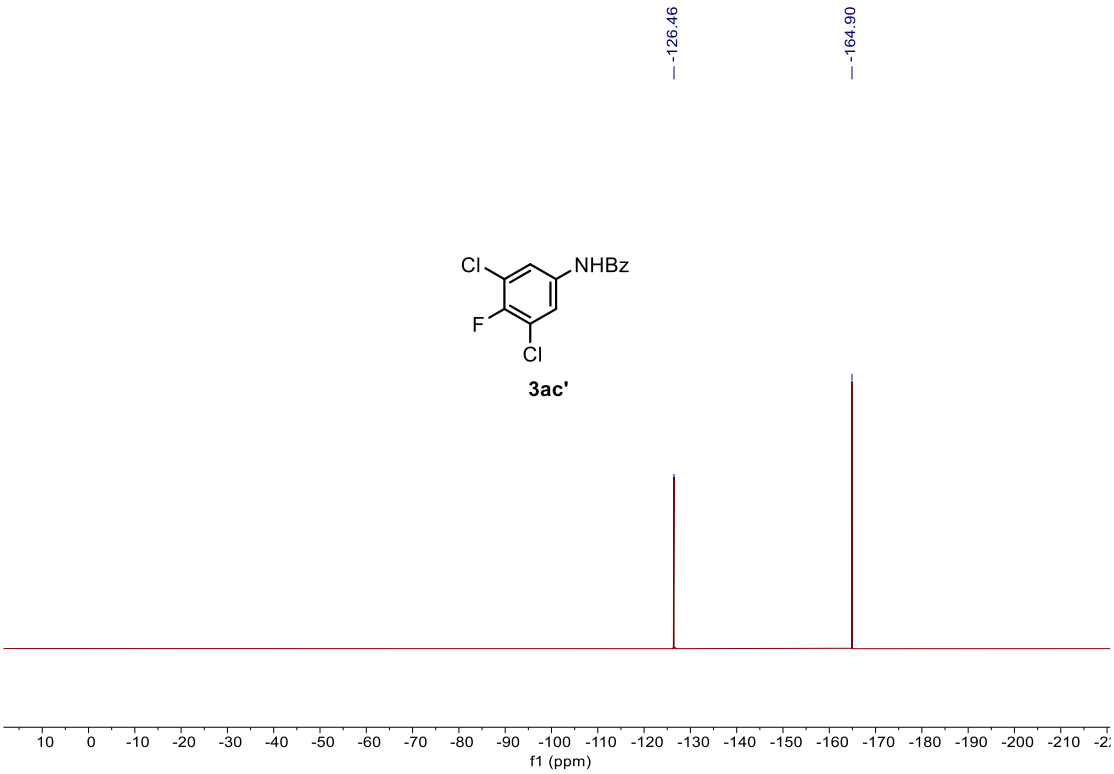
(30) ^{1}H NMR of Compound 3ac' (500 MHz, DMSO-d_6)



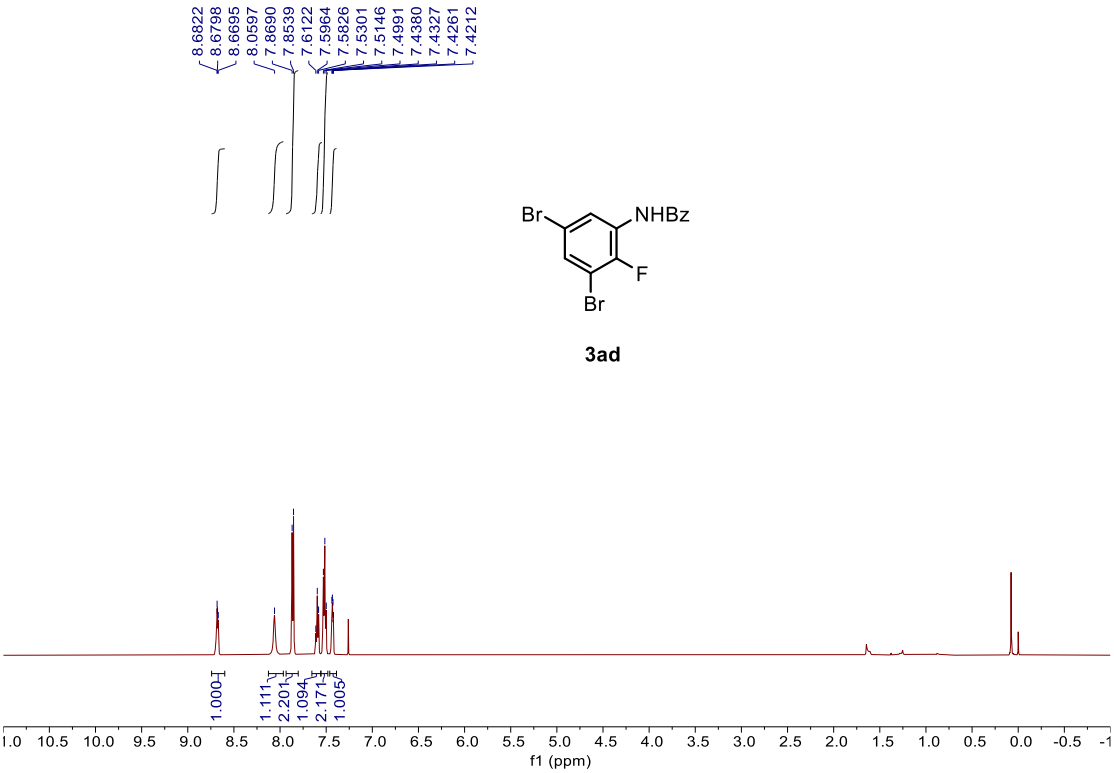
^{13}C NMR of Compound 3ac' (126 MHz, DMSO-d_6)



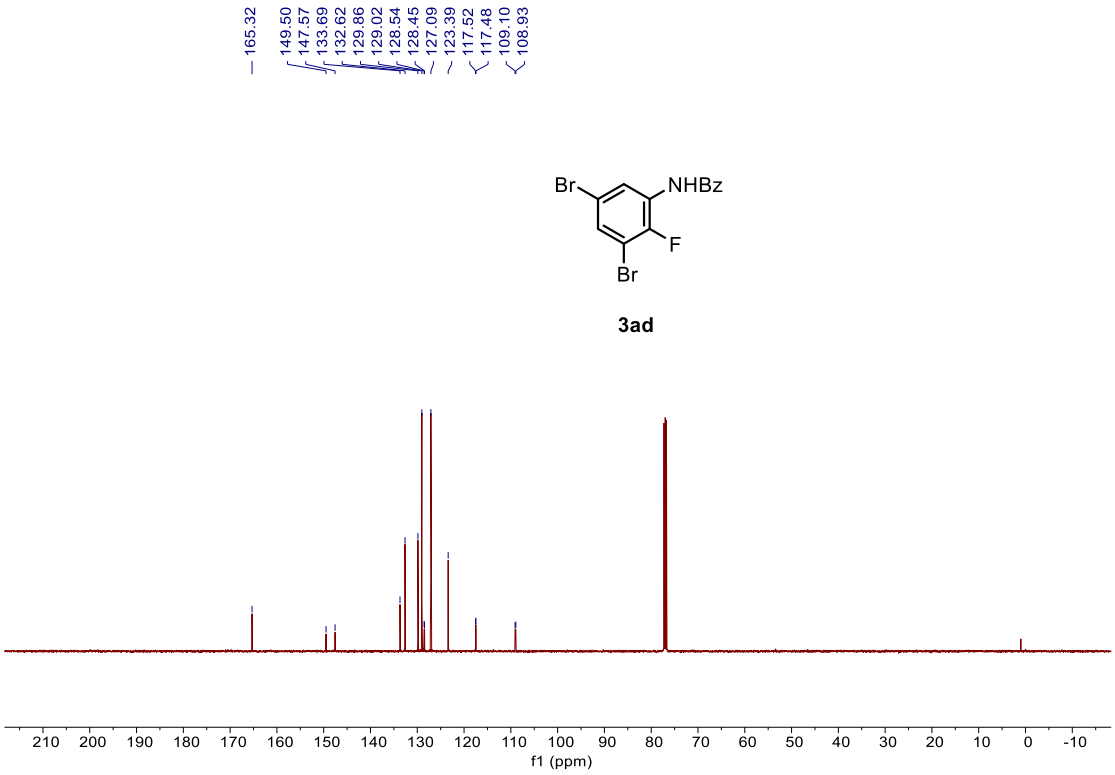
^{19}F NMR of Compound 3ac' (471 MHz, DMSO-d_6)



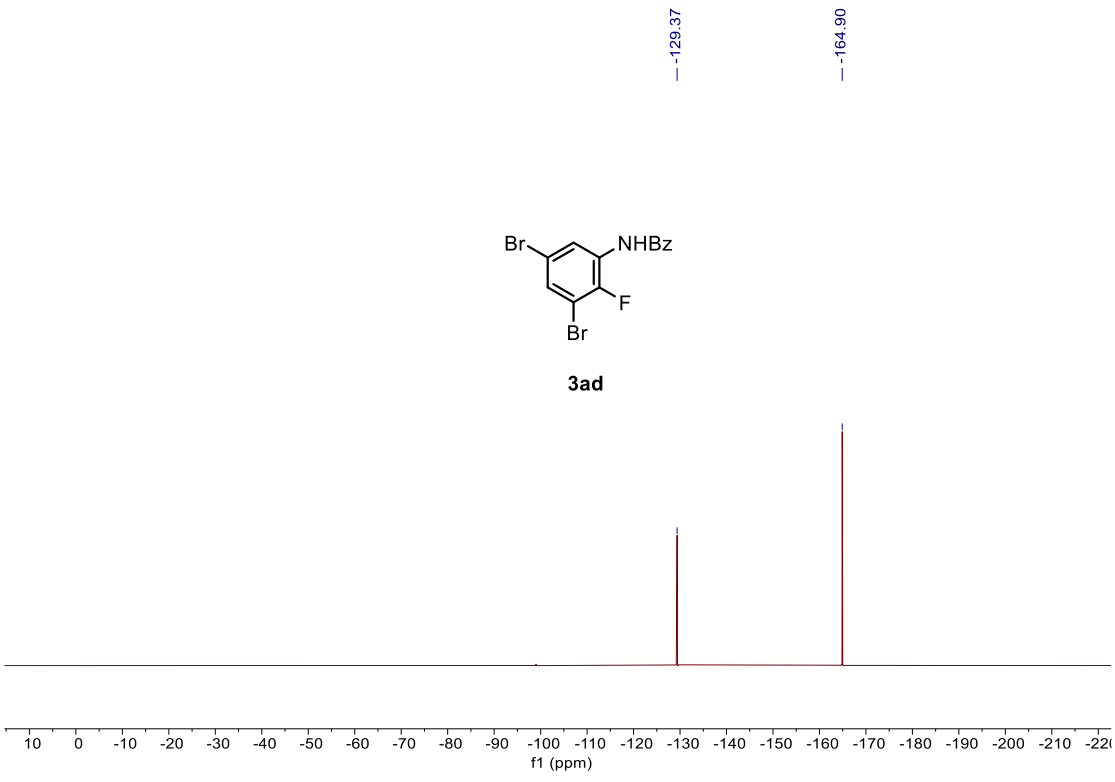
(31) ^{1}H NMR of Compound 3ad (500 MHz, $CDCl_3$)



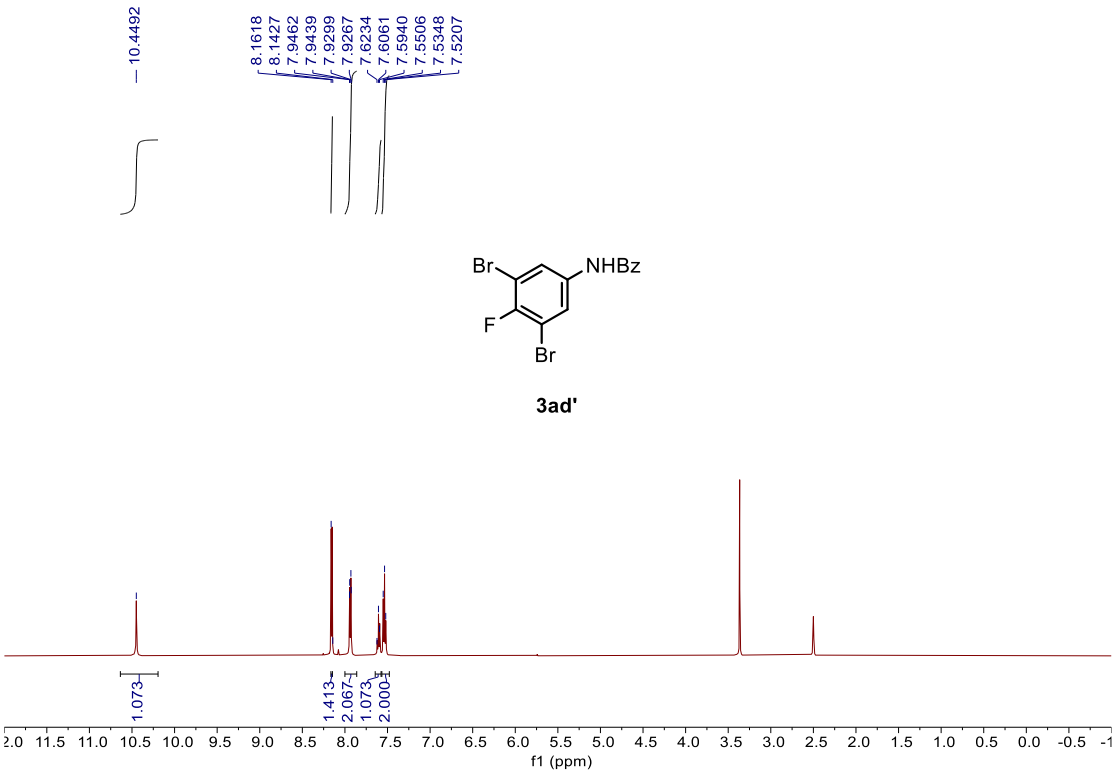
^{13}C NMR of Compound 3ad (126 MHz, $CDCl_3$)



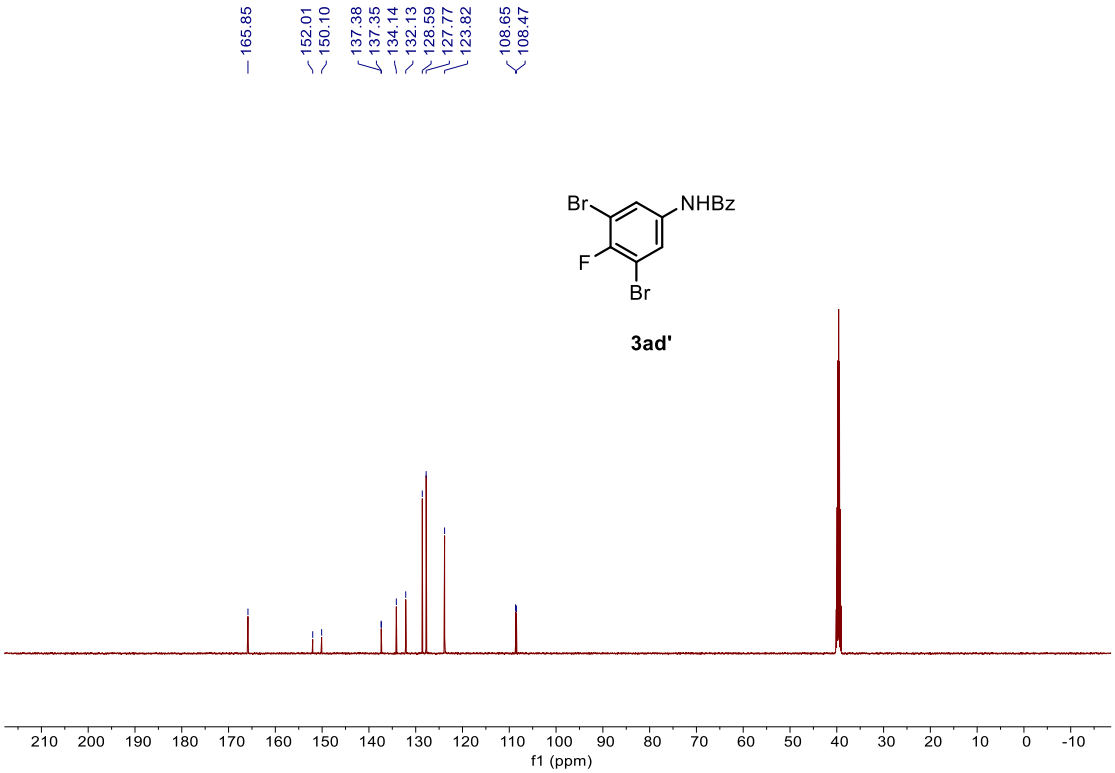
^{19}F NMR of Compound 3ac (471 MHz, $CDCl_3$)



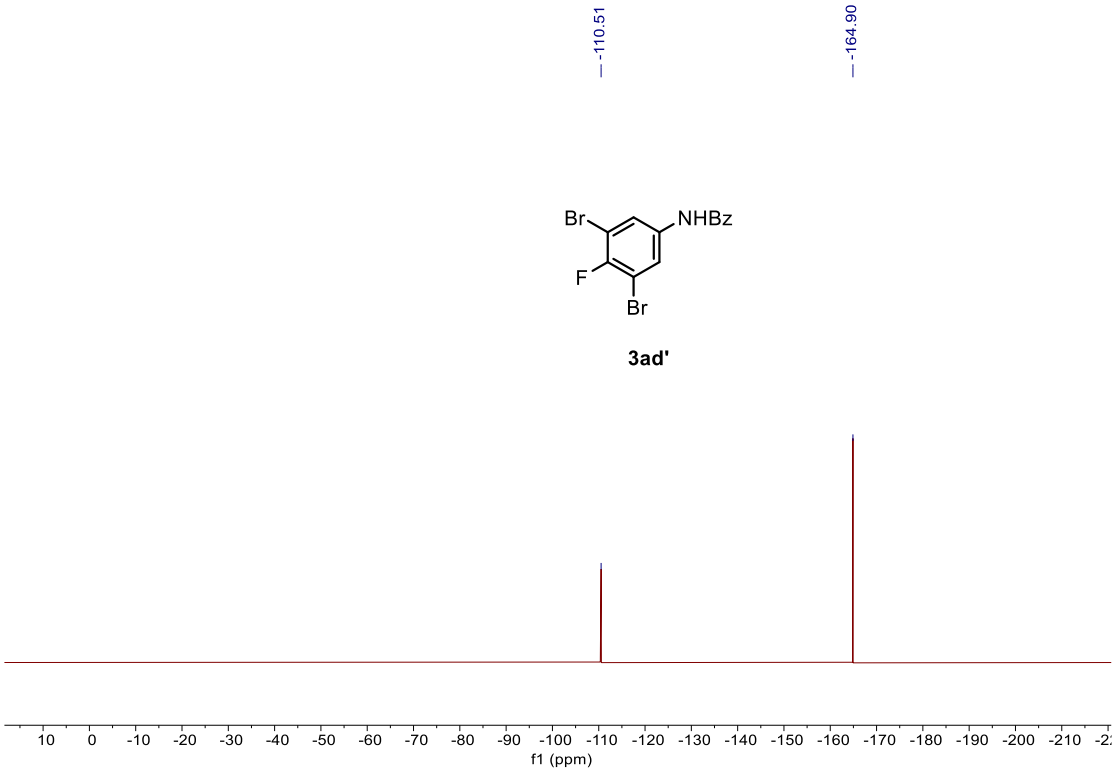
^{1}H NMR of Compound 3ad' (500 MHz, DMSO-d_6)



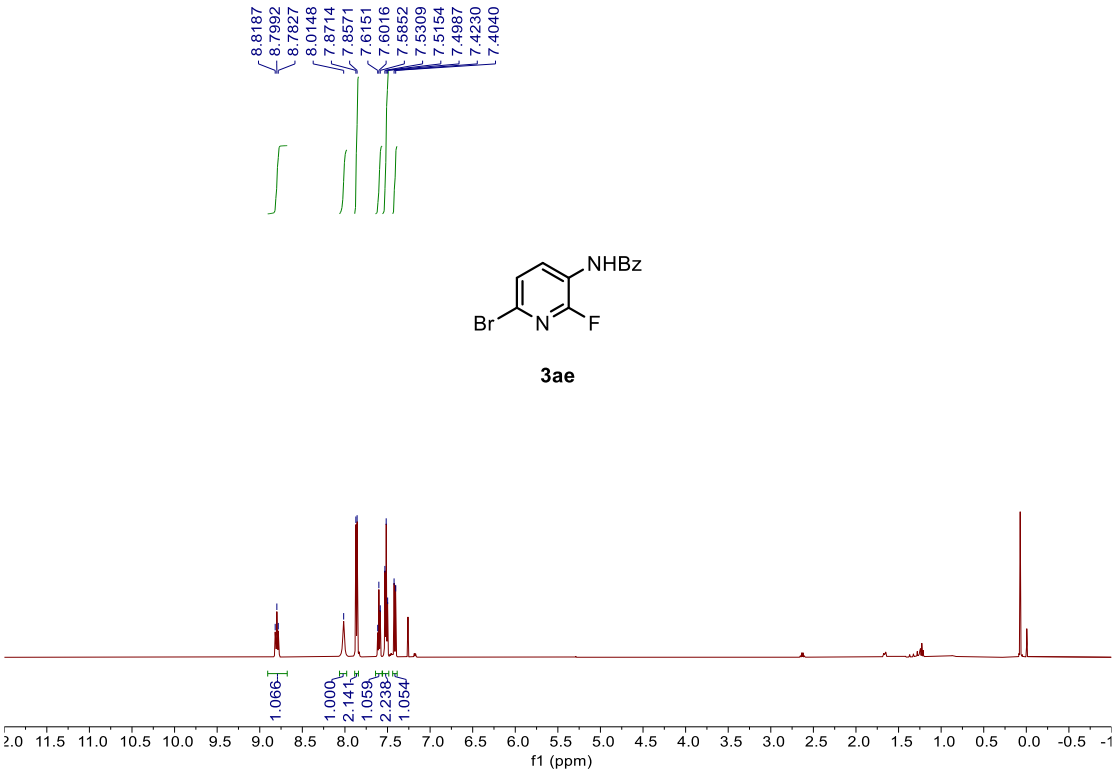
^{13}C NMR of Compound 3ad' (126 MHz, DMSO-d_6)



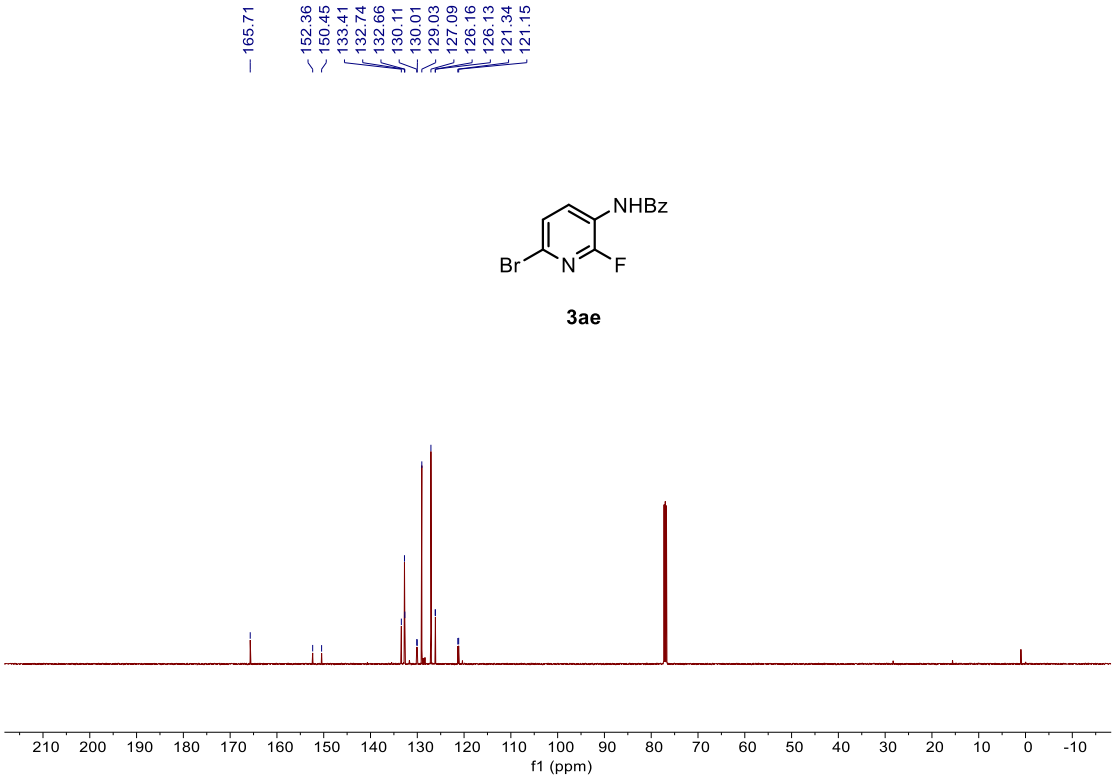
^{19}F NMR of Compound 3ad' (471 MHz, DMSO-d_6)



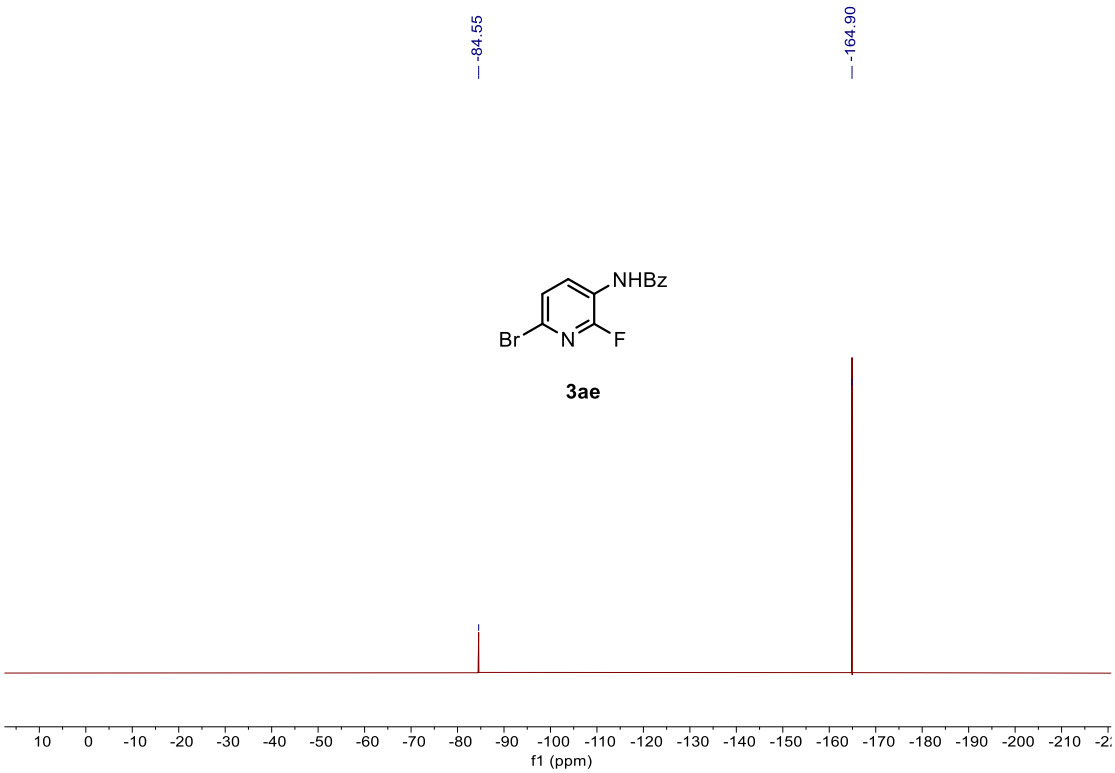
(32) ^{1}H NMR of Compound 3ae (500 MHz, $CDCl_3$)



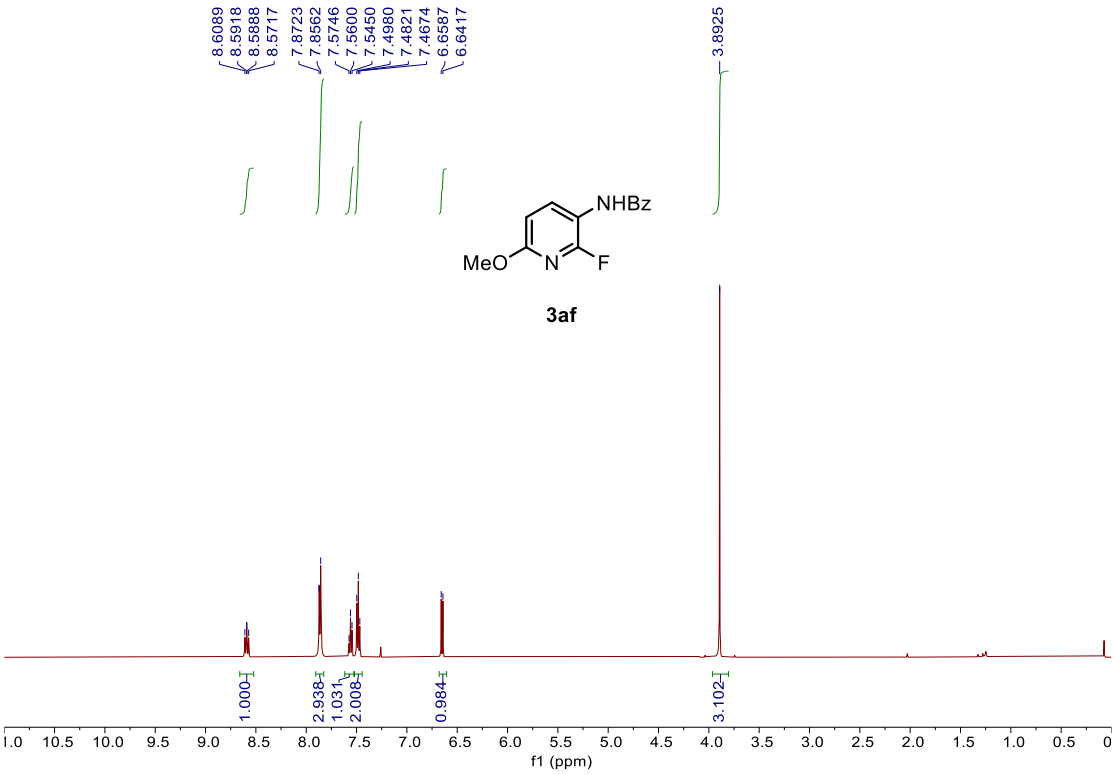
^{13}C NMR of Compound 3ae (126 MHz, $CDCl_3$)



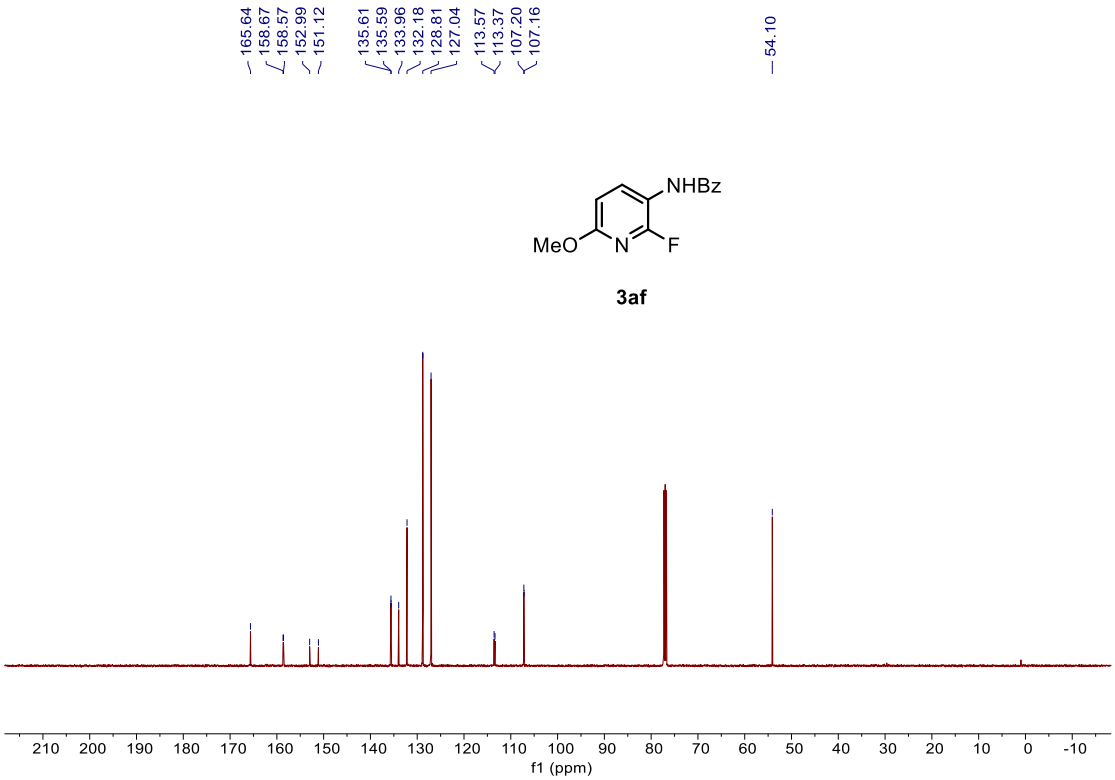
^{19}F NMR of Compound 3ae (471 MHz, $CDCl_3$)



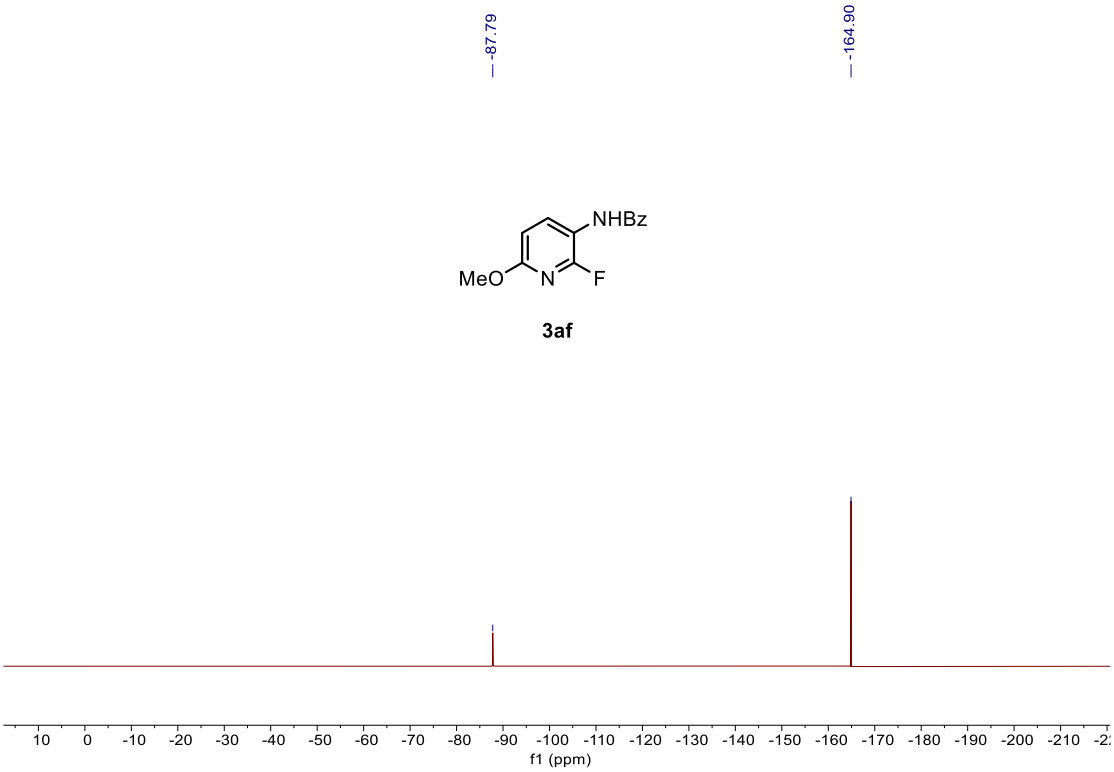
(33) ^{1}H NMR of Compound 3af (500 MHz, $CDCl_3$)



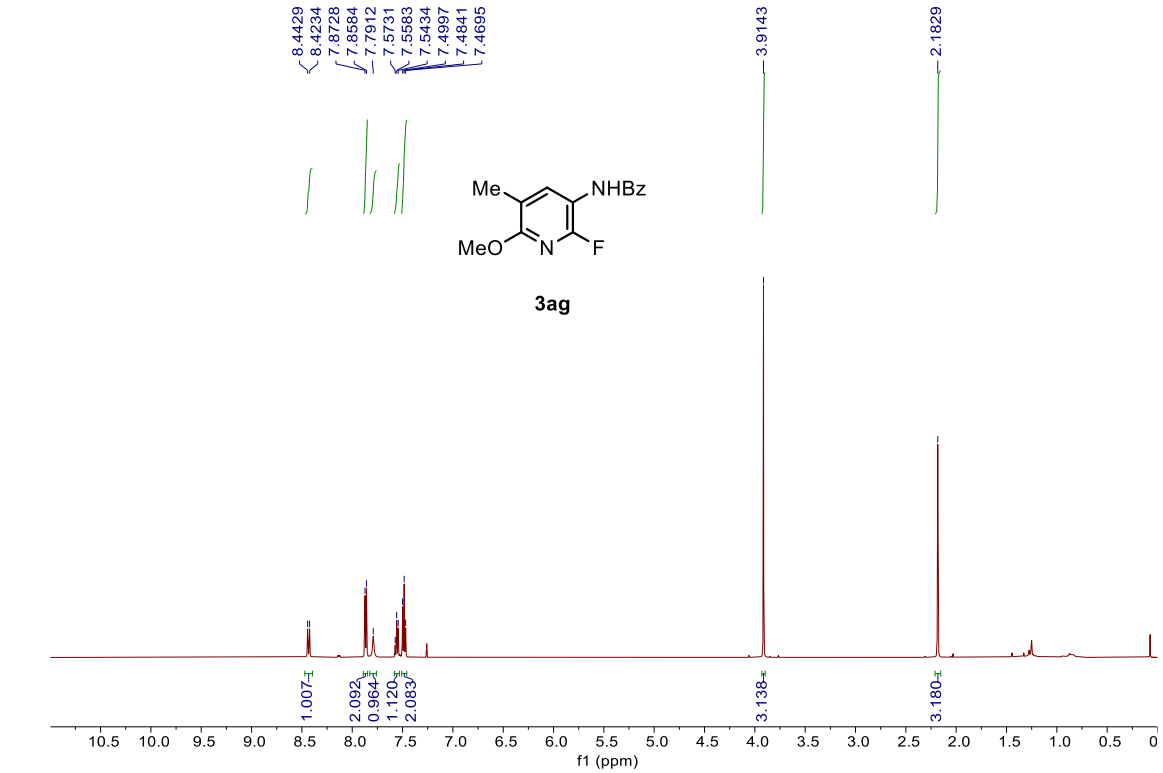
^{13}C NMR of Compound 3af (126 MHz, $CDCl_3$)



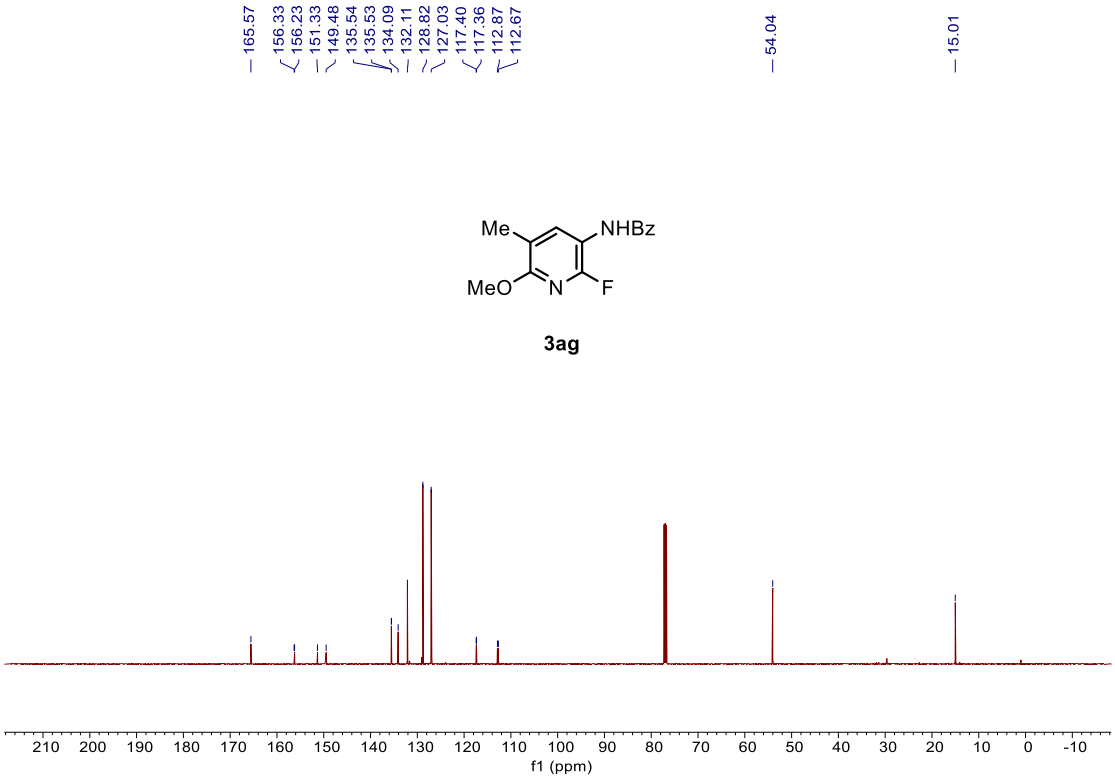
^{19}F NMR of Compound 3ae (471 MHz, $CDCl_3$)



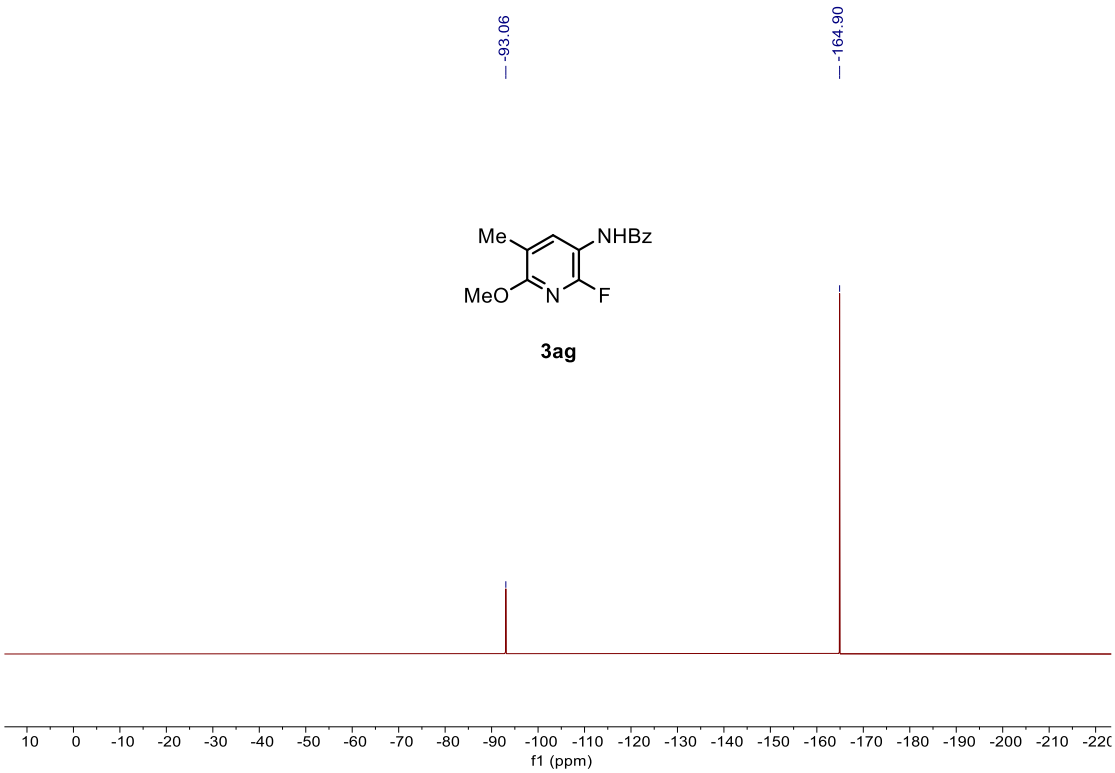
(34) ^{1}H NMR of Compound 3ag (500 MHz, $CDCl_3$)



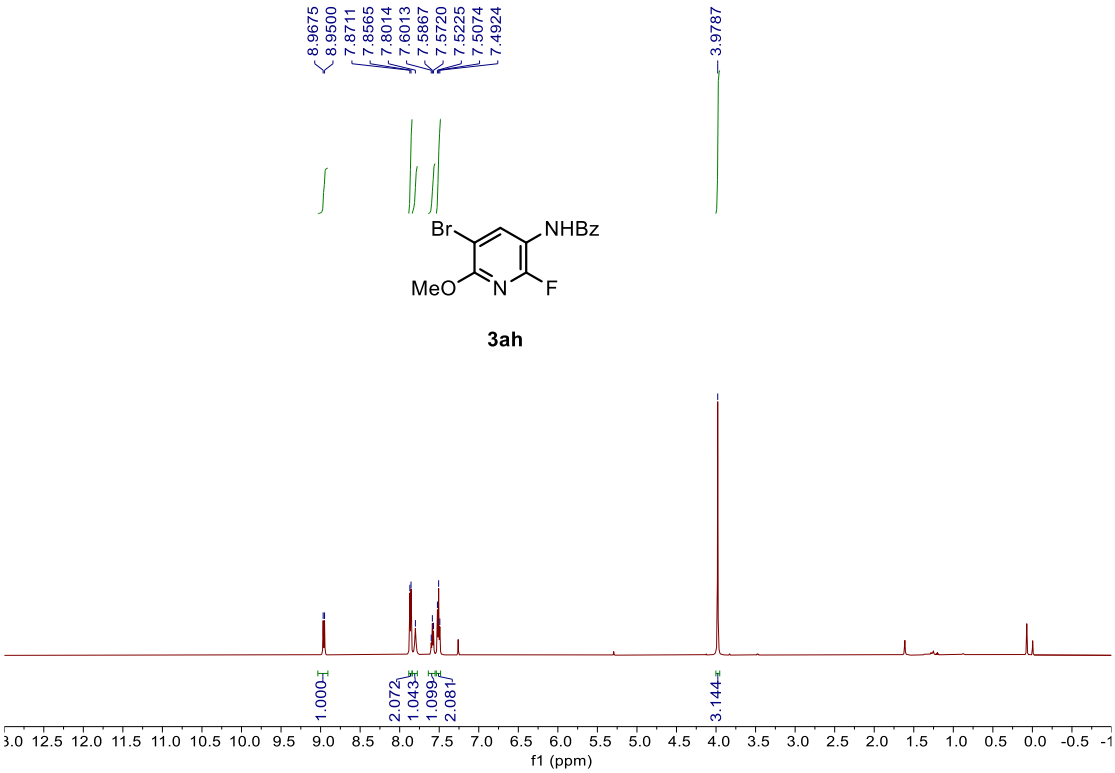
^{13}C NMR of Compound 3ag (126 MHz, $CDCl_3$)



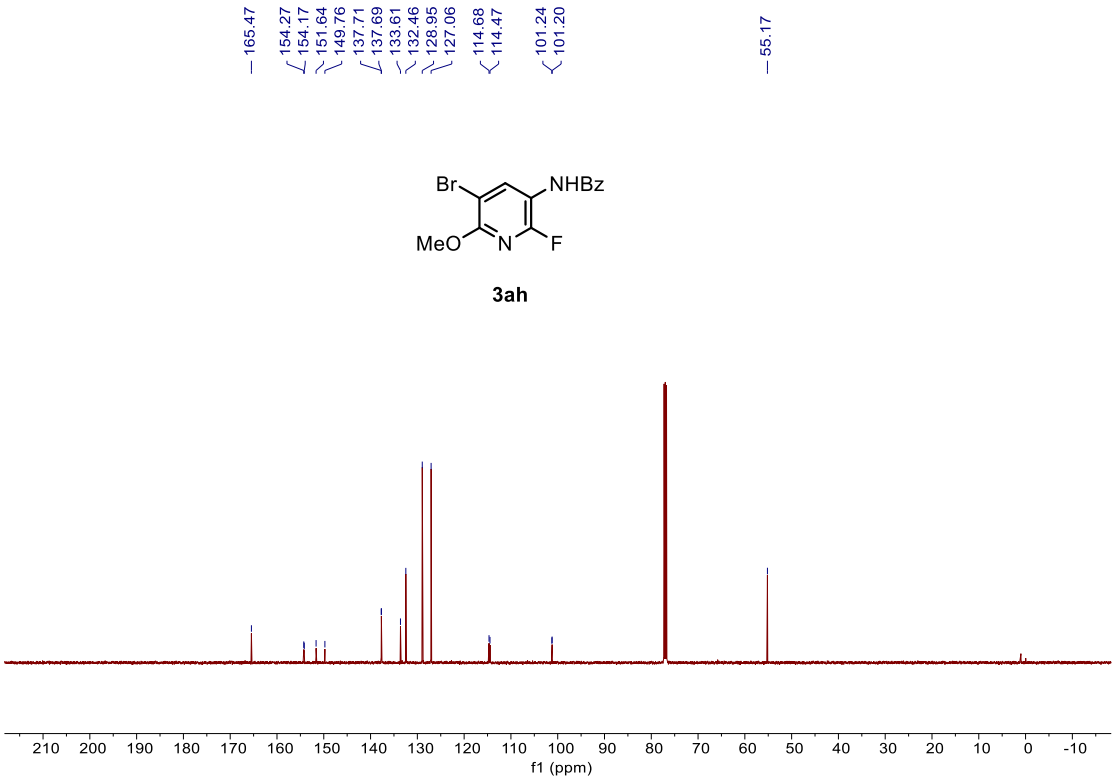
^{19}F NMR of Compound 3ag (471 MHz, $CDCl_3$)



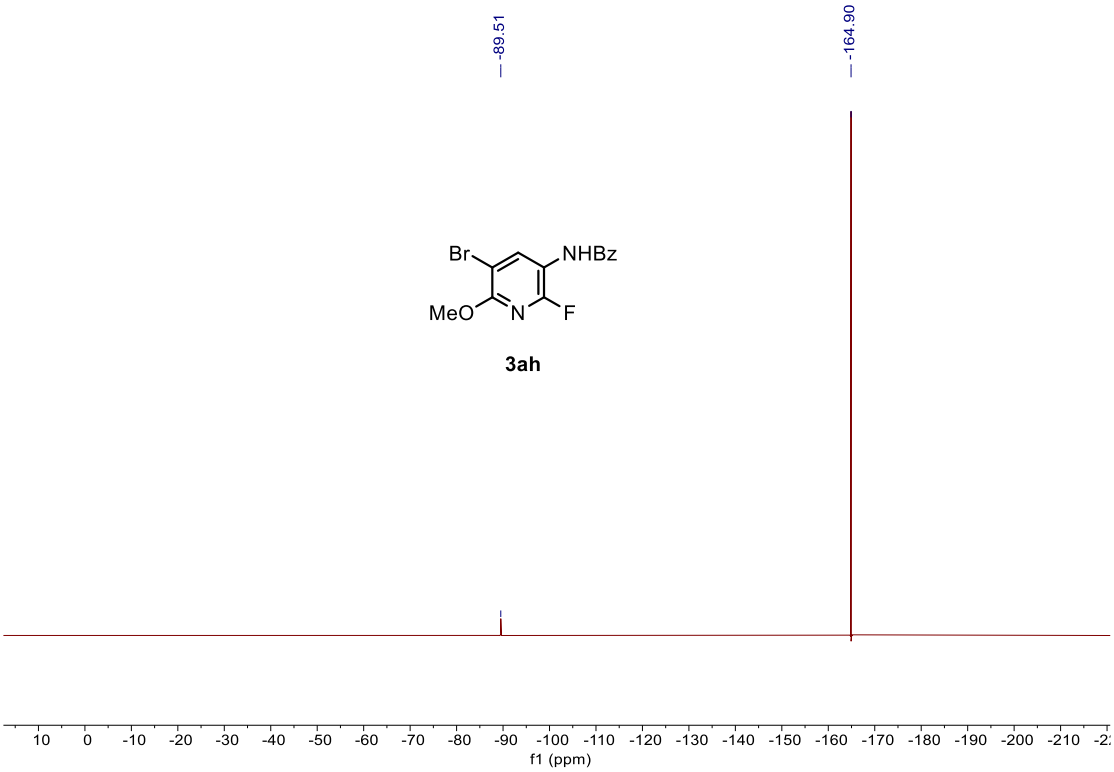
^{1}H NMR of Compound 3ah (500 MHz, $CDCl_3$)



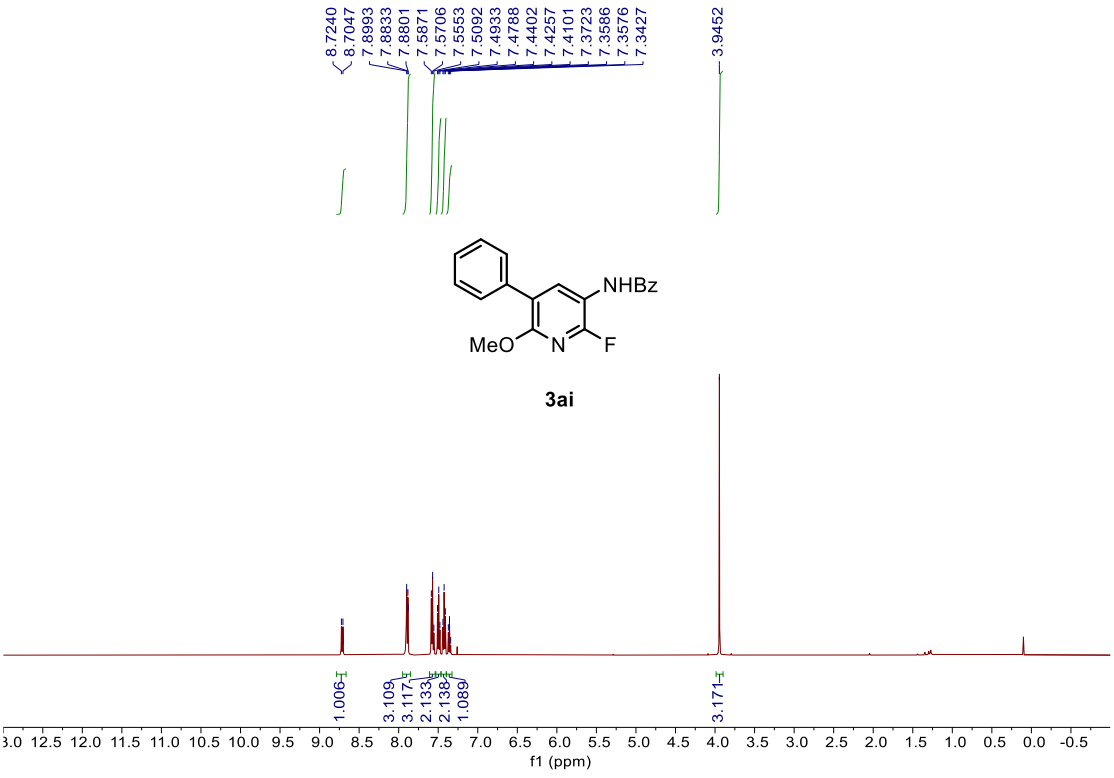
^{13}C NMR of Compound 3ah (126 MHz, $CDCl_3$)



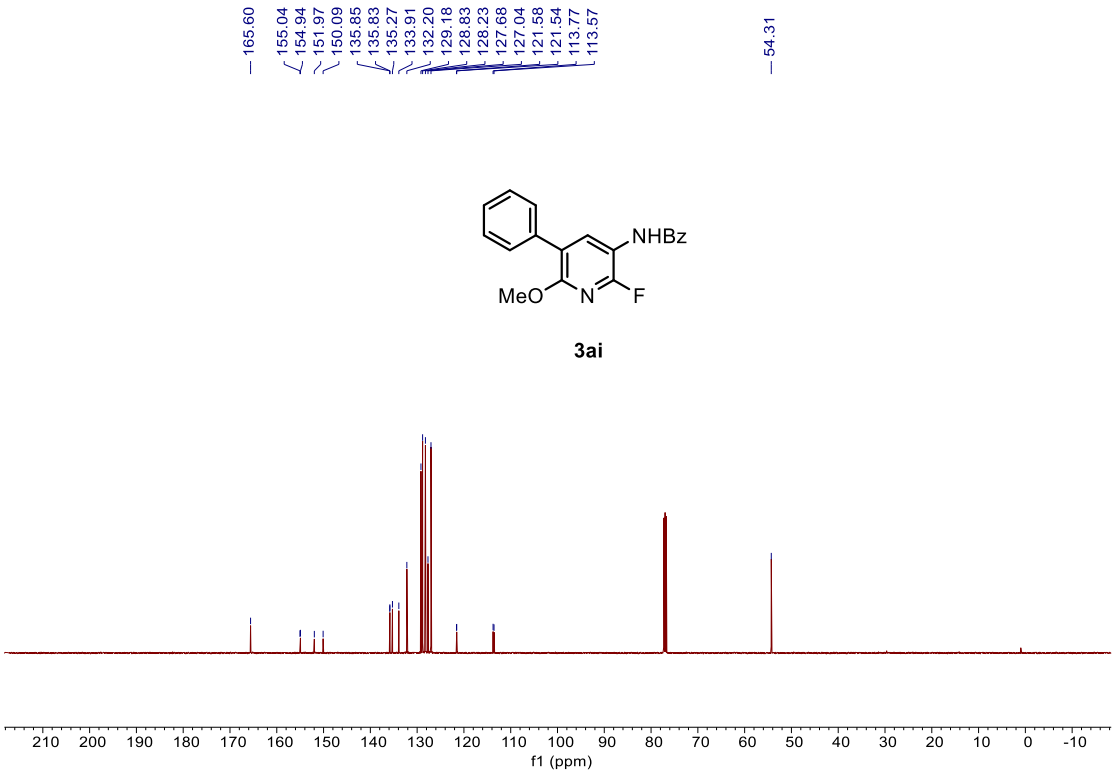
^{19}F NMR of Compound 3ag (471 MHz, $CDCl_3$)



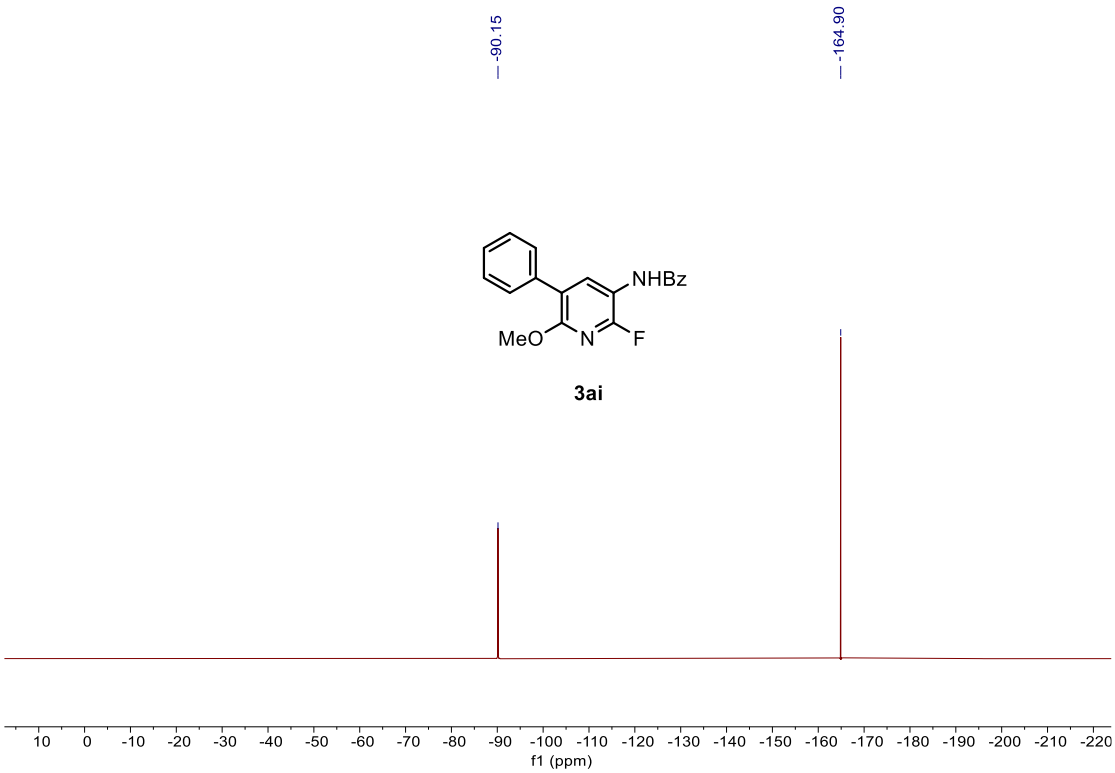
(35) ^{1}H NMR of Compound 3ai (500 MHz, $CDCl_3$)



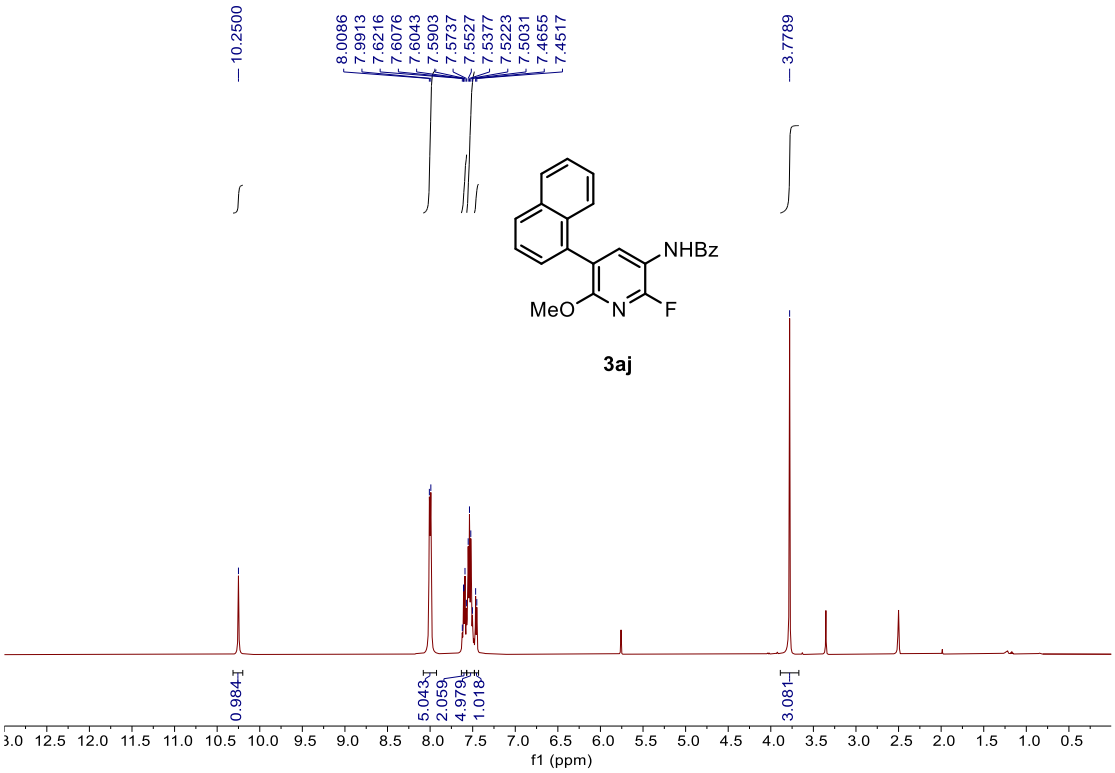
^{13}C NMR of Compound 3ai (126 MHz, $CDCl_3$)



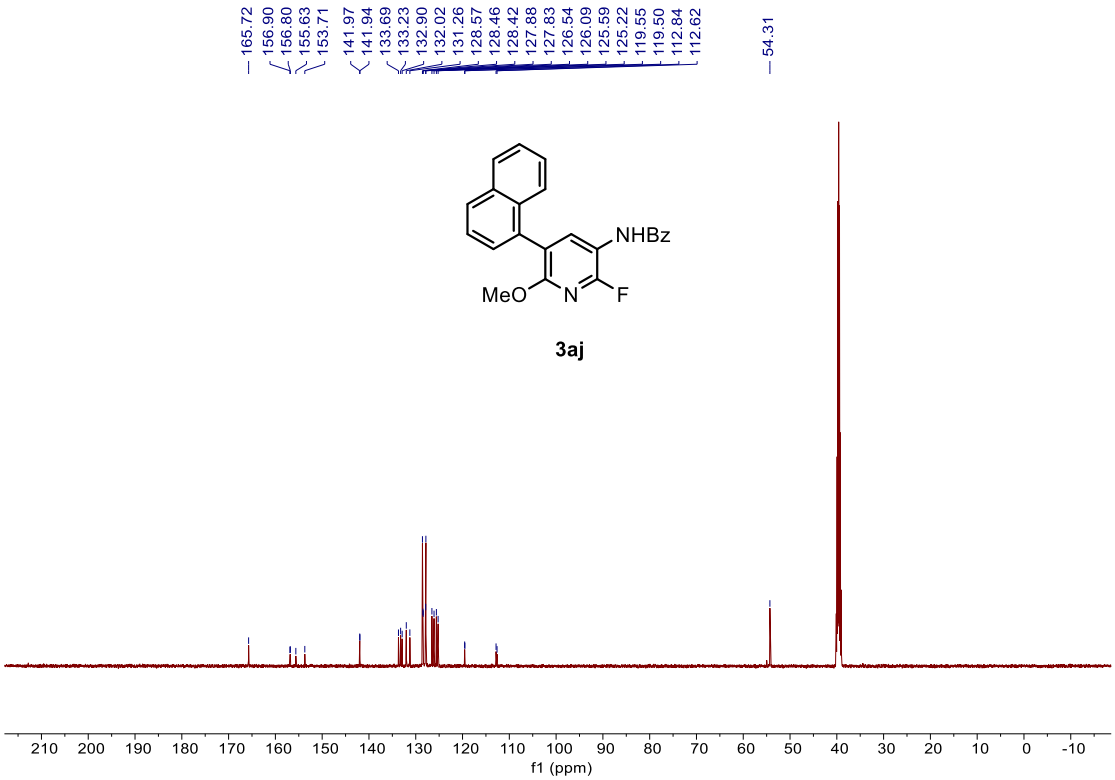
^{19}F NMR of Compound 3ai (471 MHz, $CDCl_3$)



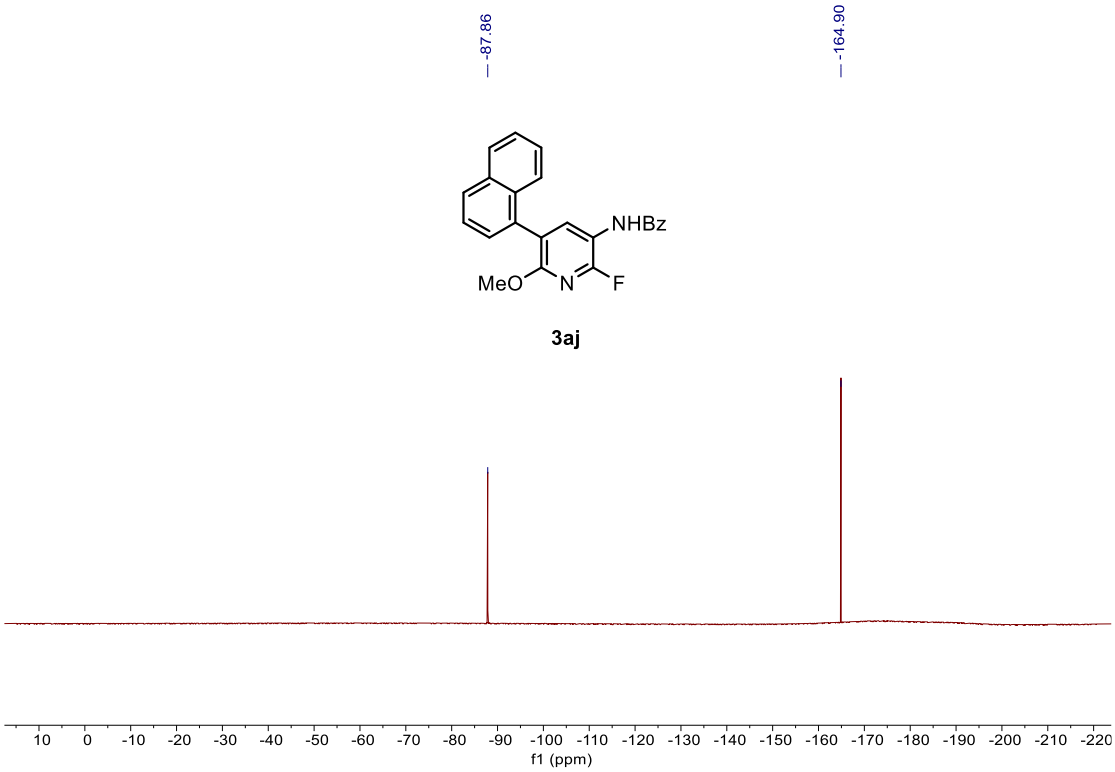
(36) ^{1}H NMR of Compound 3aj (500 MHz, DMSO-d_6)



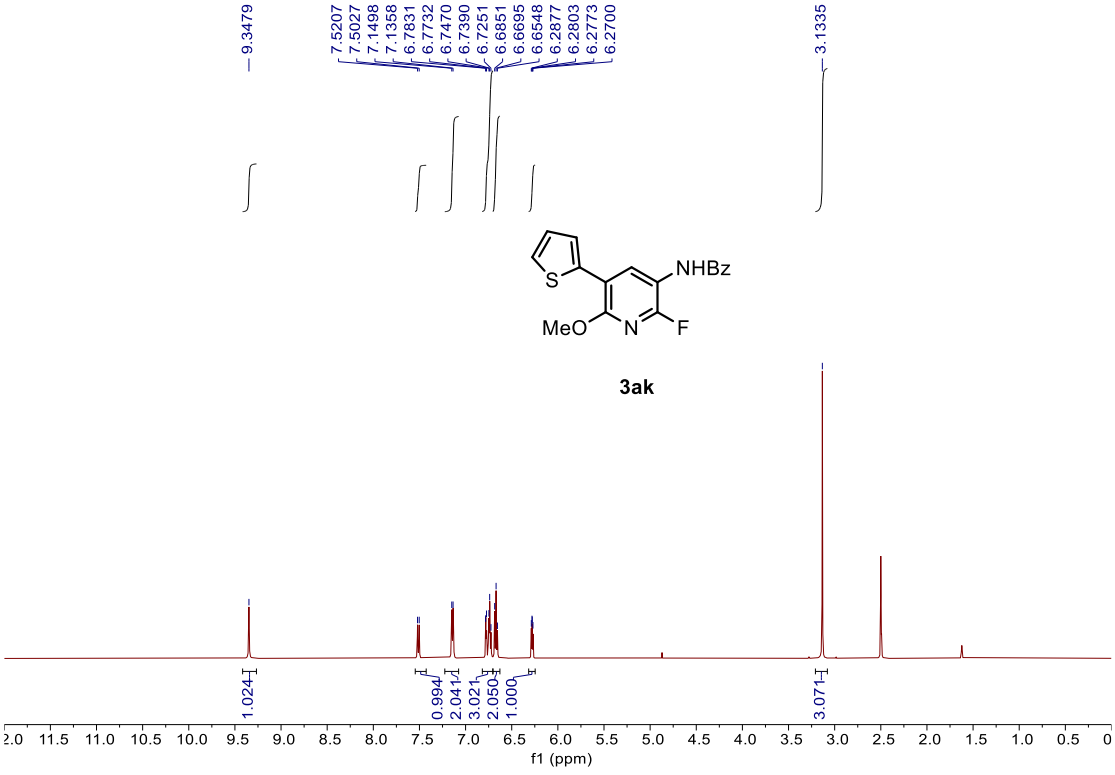
^{13}C NMR of Compound 3aj (126 MHz, DMSO-d_6)



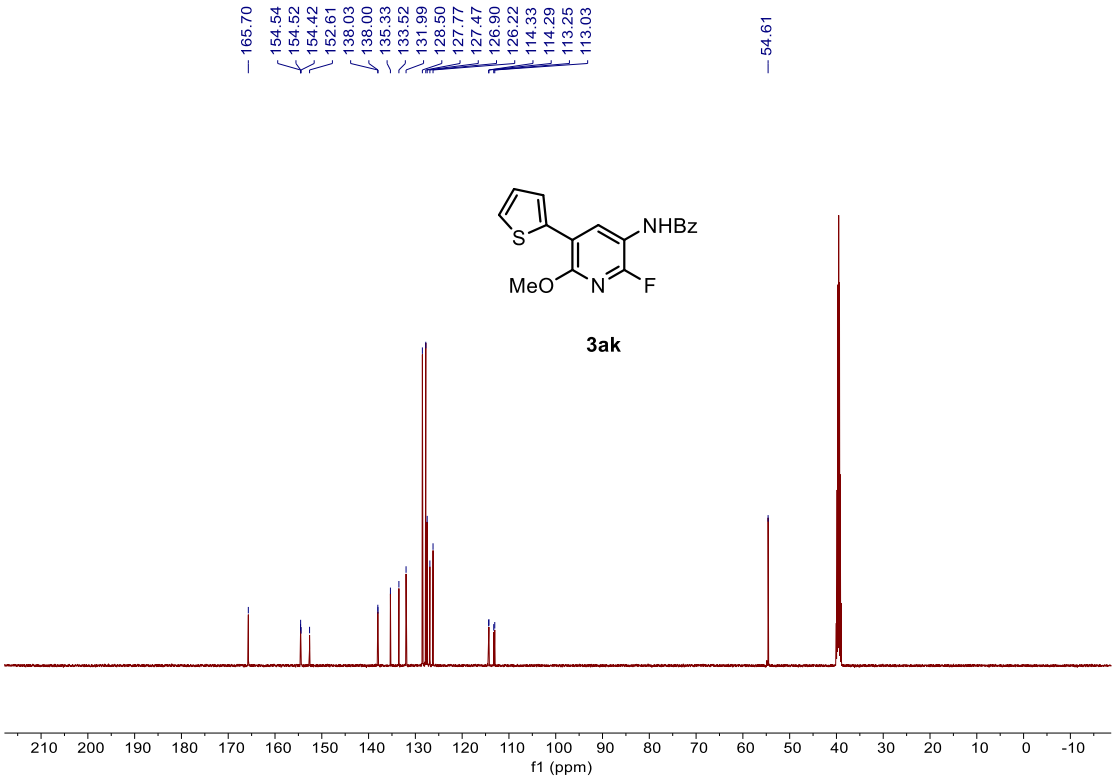
^{19}F NMR of Compound 3aj (471 MHz, $CDCl_3$)



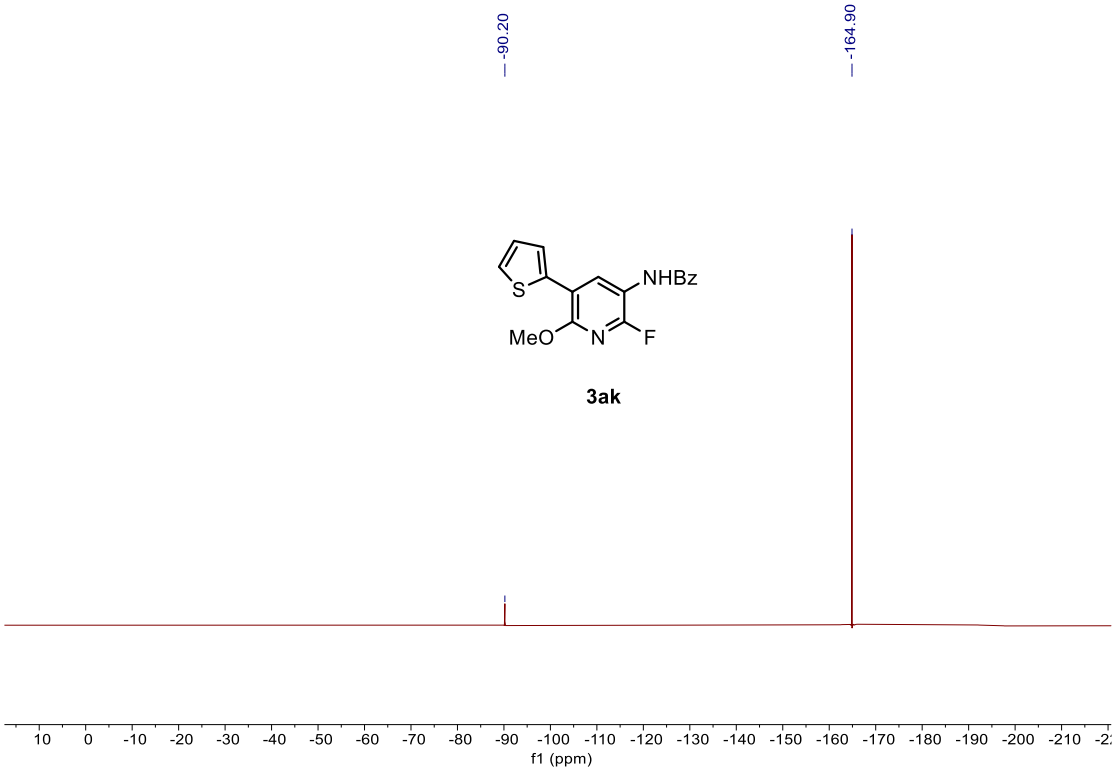
(37) ^{1}H NMR of Compound 3ak (500 MHz, DMSO-d_6)



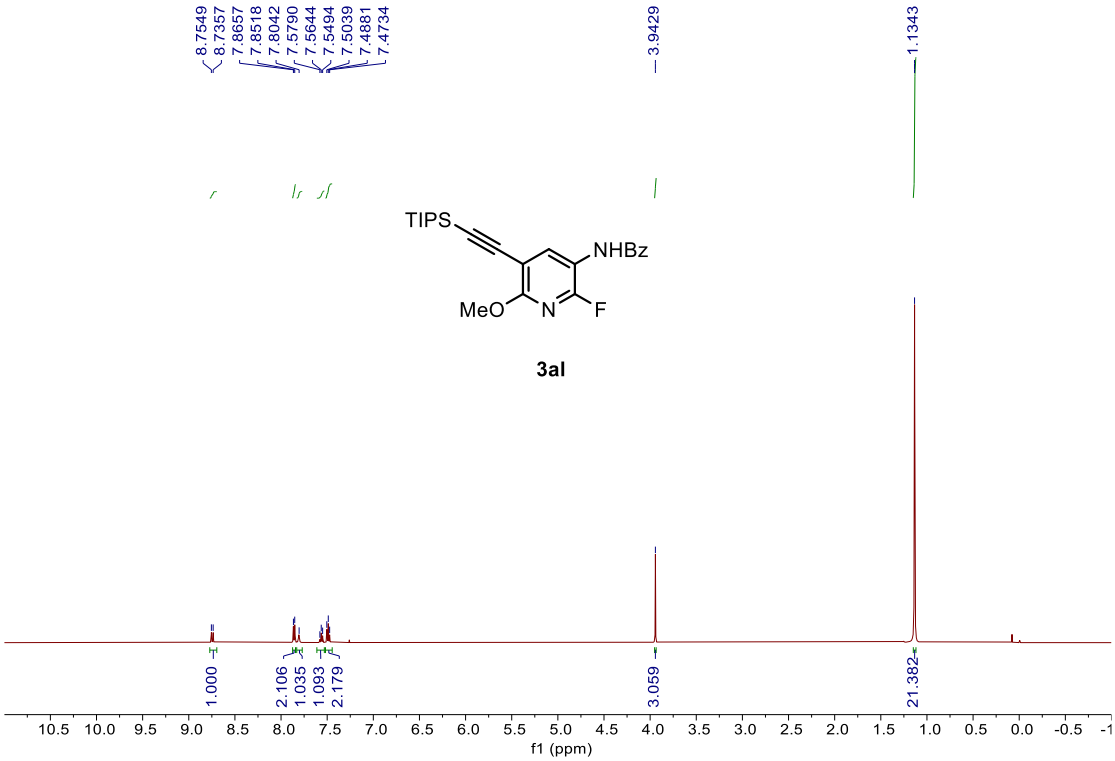
^{13}C NMR of Compound 3ak (126 MHz, DMSO-*d*$_6$)



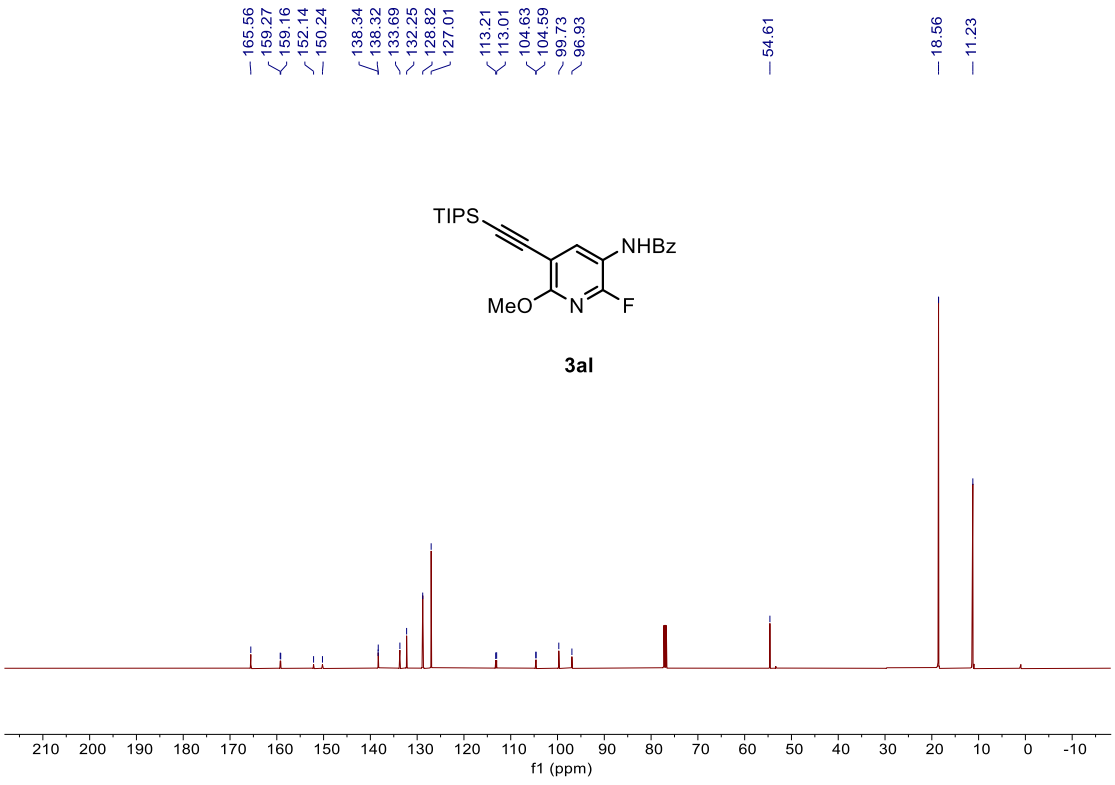
^{19}F NMR of Compound 3ak (471 MHz, $CDCl_3$)



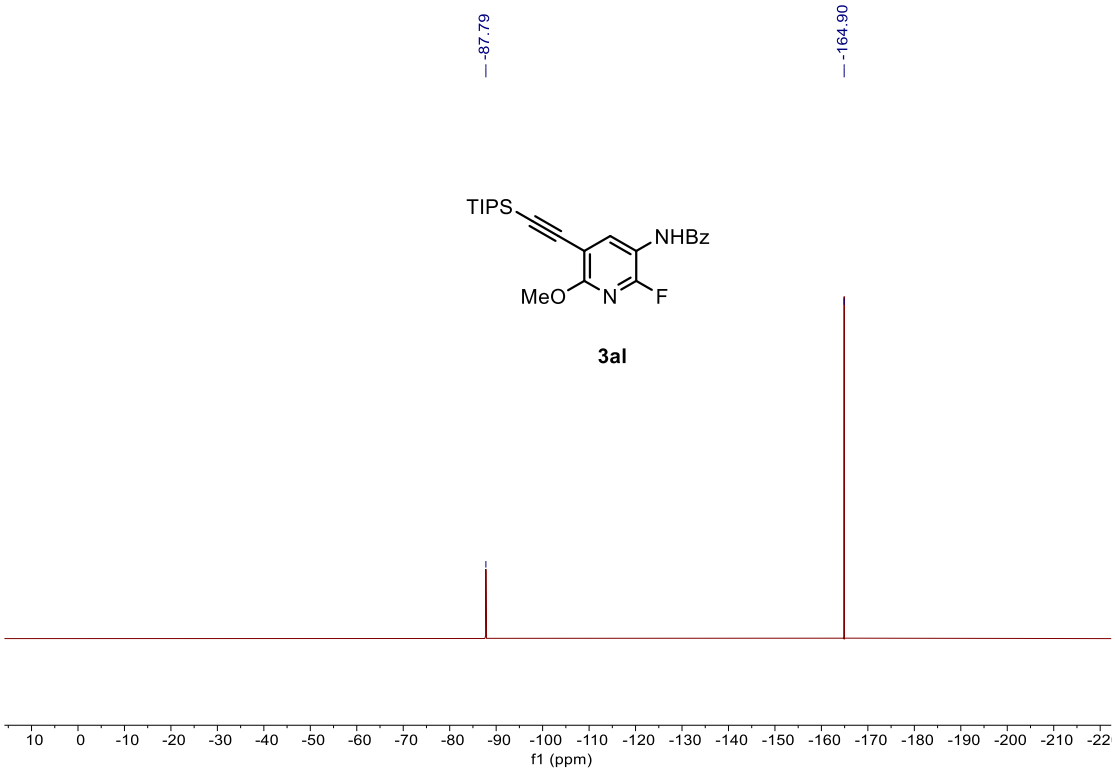
(38) ^{1}HNMR of Compound 3al (500 M, $CDCl_3$)



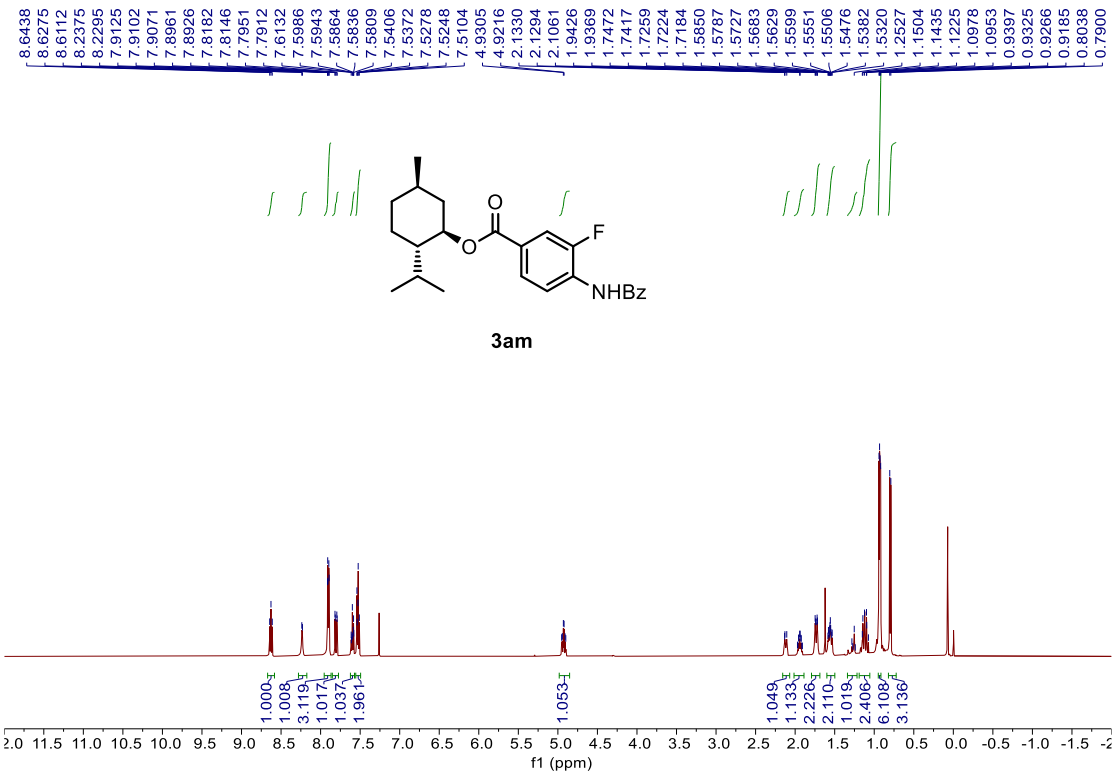
^{13}C NMR of Compound 3al (126 MHz, $CDCl_3$)



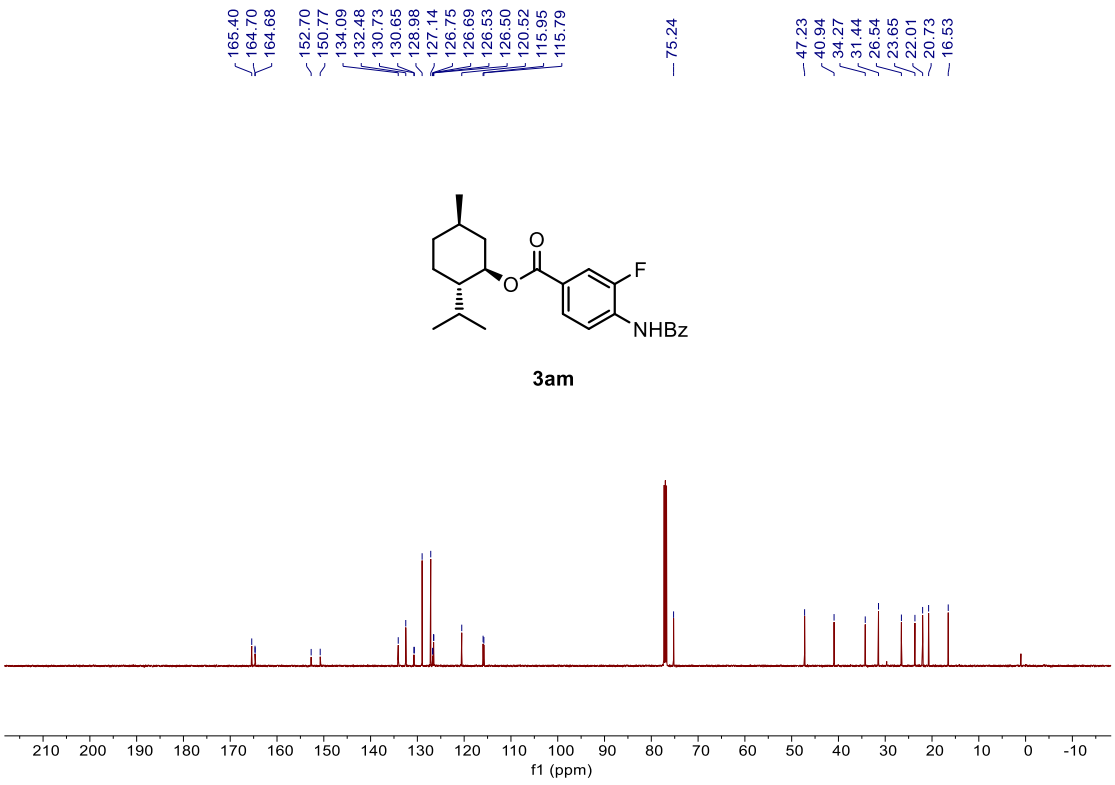
^{19}F NMR of Compound 3al (471 MHz, $CDCl_3$)



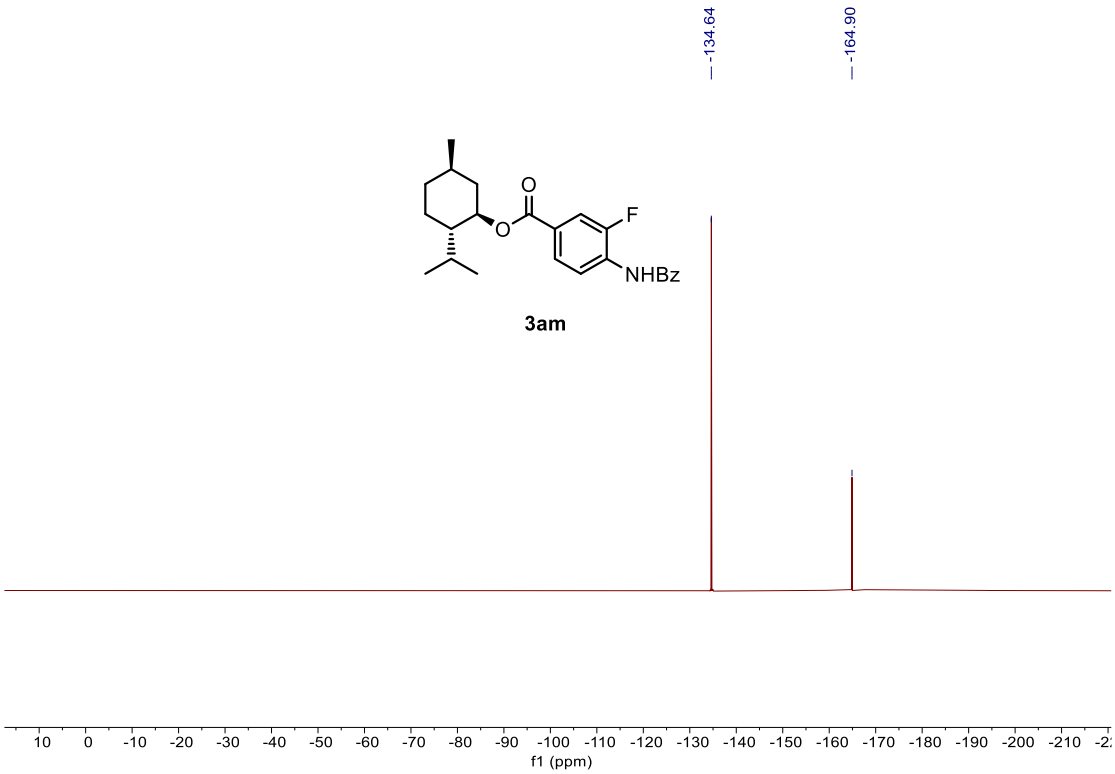
(39) ^{1}H NMR of Compound 3am (500 M, $CDCl_3$)



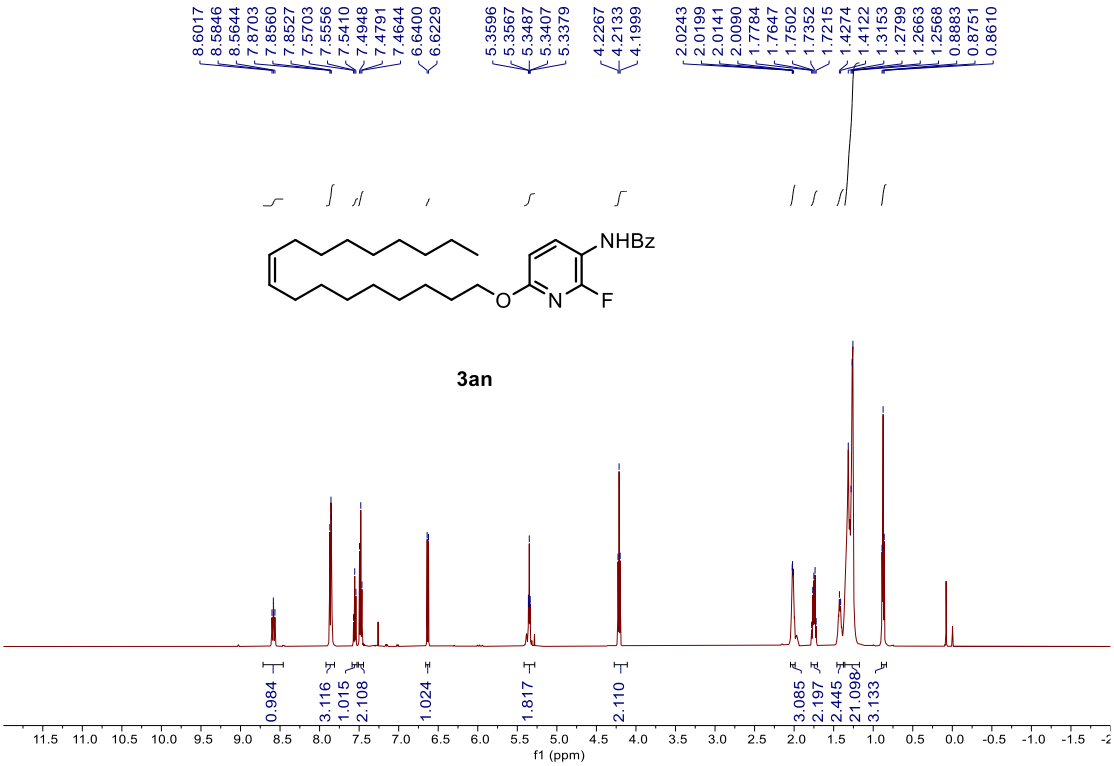
^{13}C NMR of Compound 3am (126 MHz, $CDCl_3$)



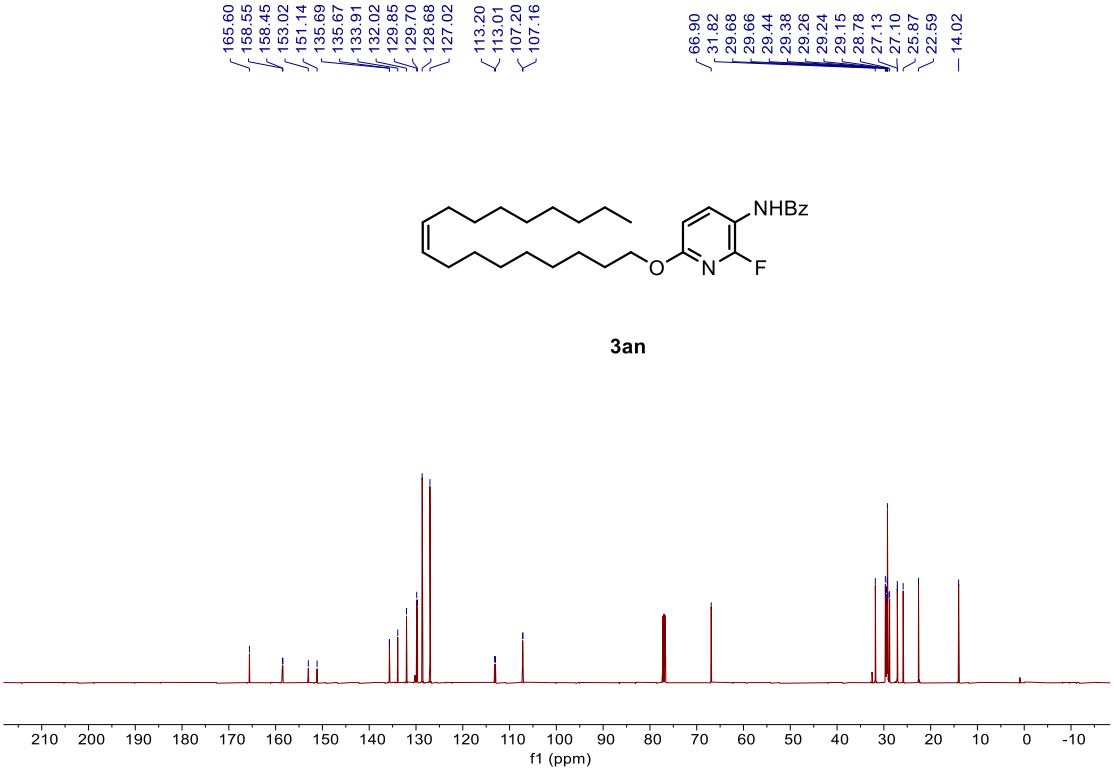
^{19}F NMR of Compound 3am (471 MHz, $CDCl_3$)



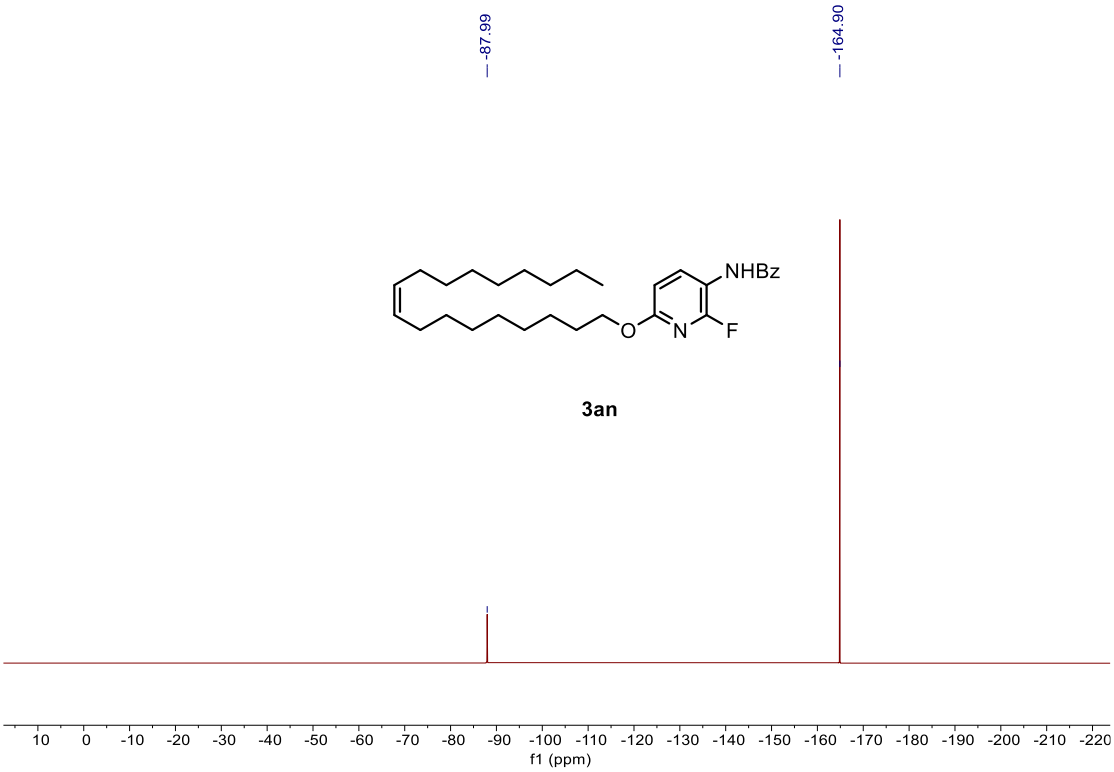
(40) ^{1}H NMR of Compound 3an (500 M, $CDCl_3$)



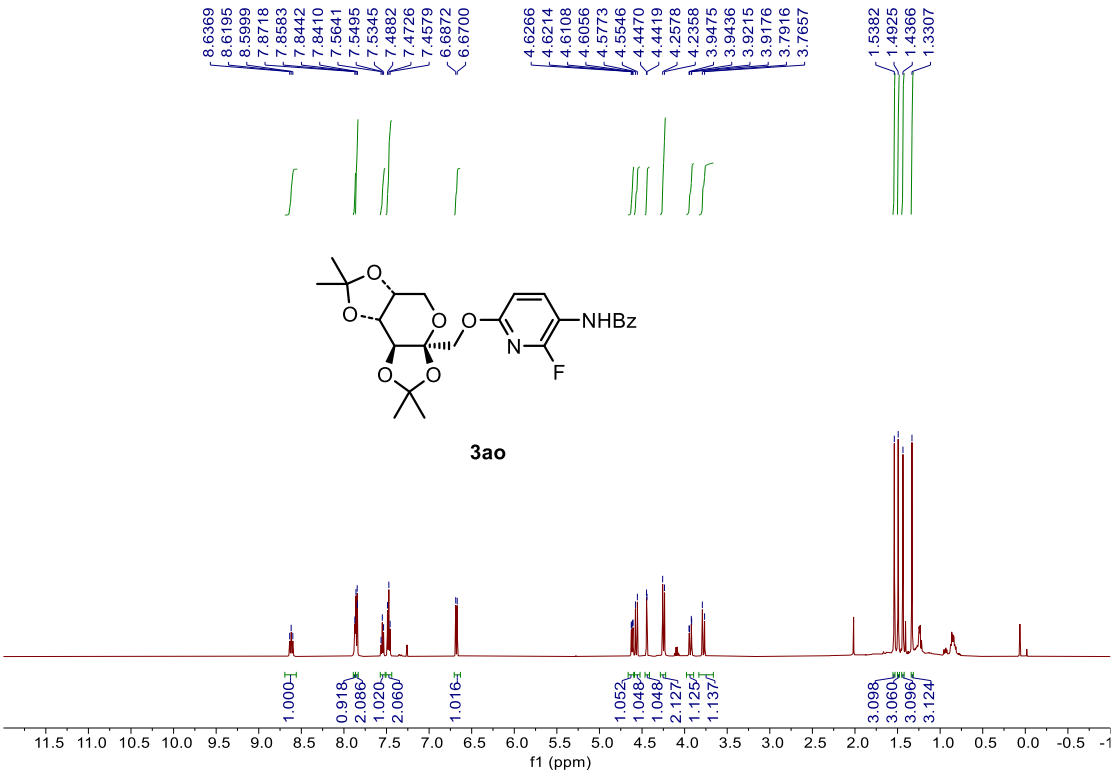
^{13}C NMR of Compound 3an (126 MHz, $CDCl_3$)



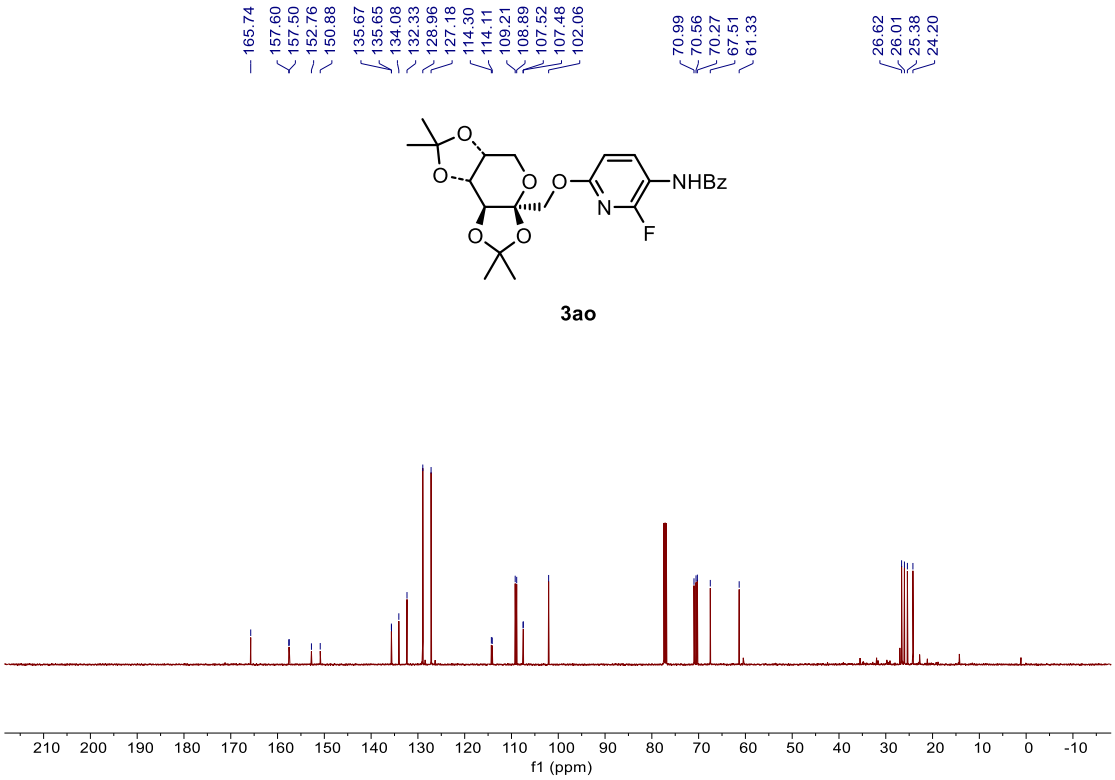
^{19}F NMR of Compound 3an (471 MHz, $CDCl_3$)



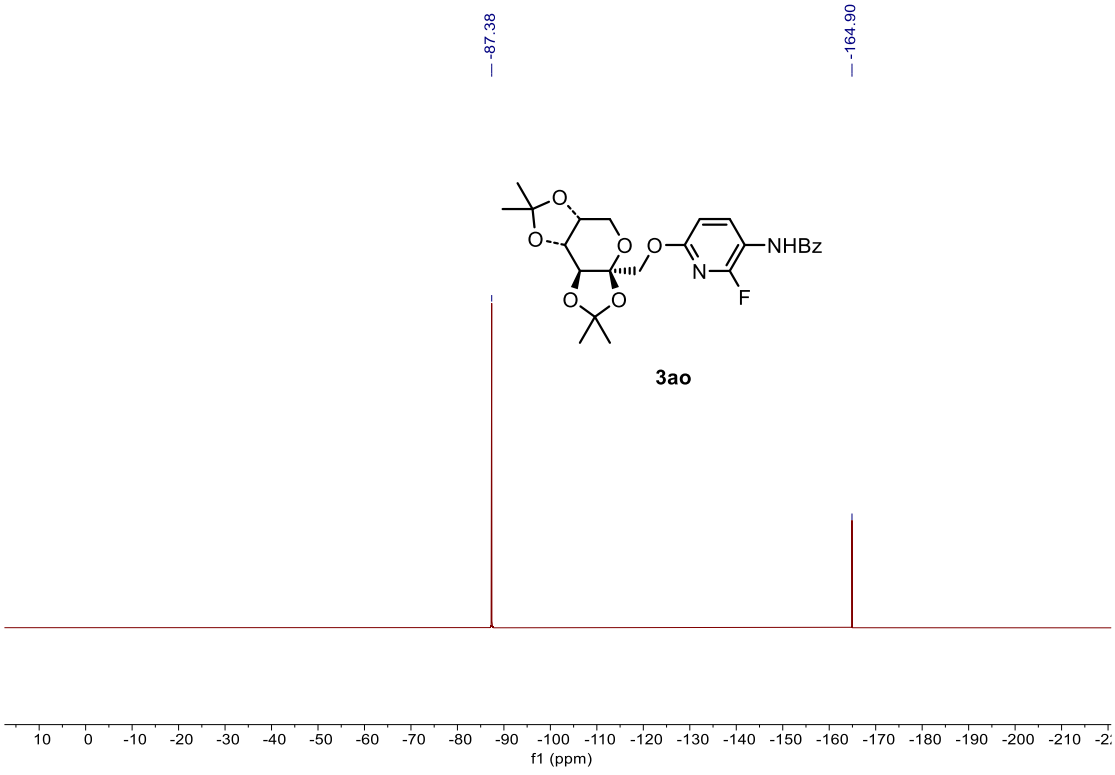
(41) ^{1}H NMR of Compound 3ao (500 M, $CDCl_3$)



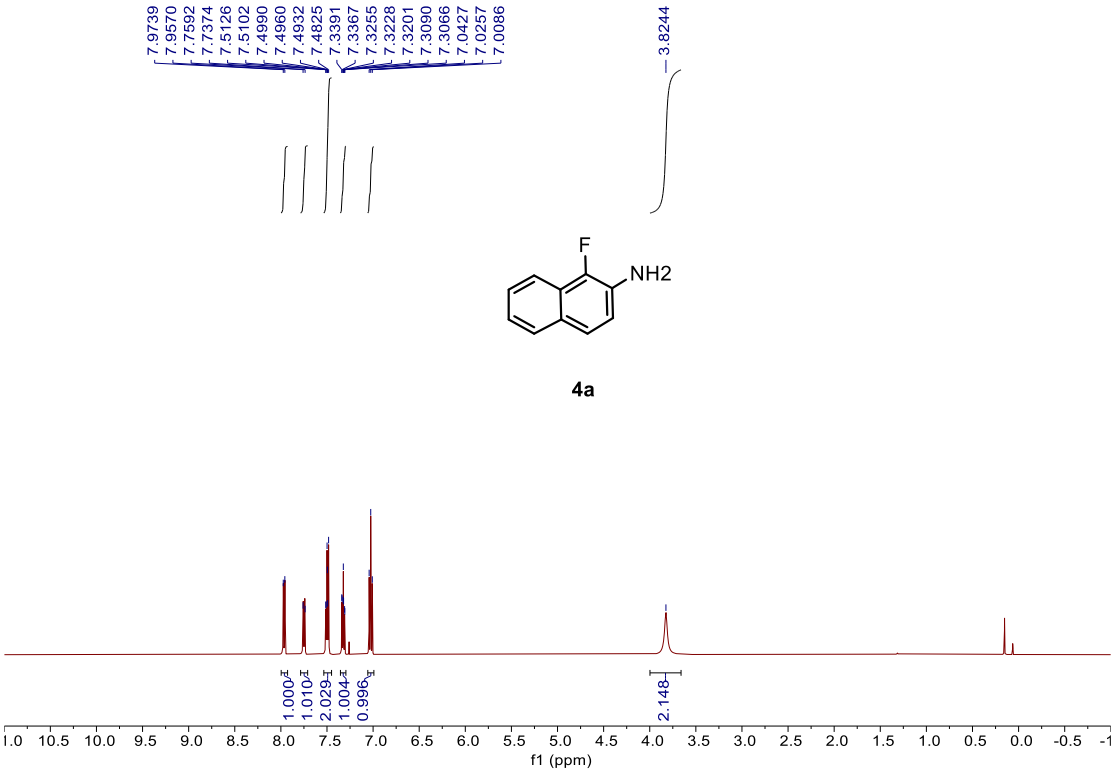
^{13}C NMR of Compound 3an (126 MHz, $CDCl_3$)



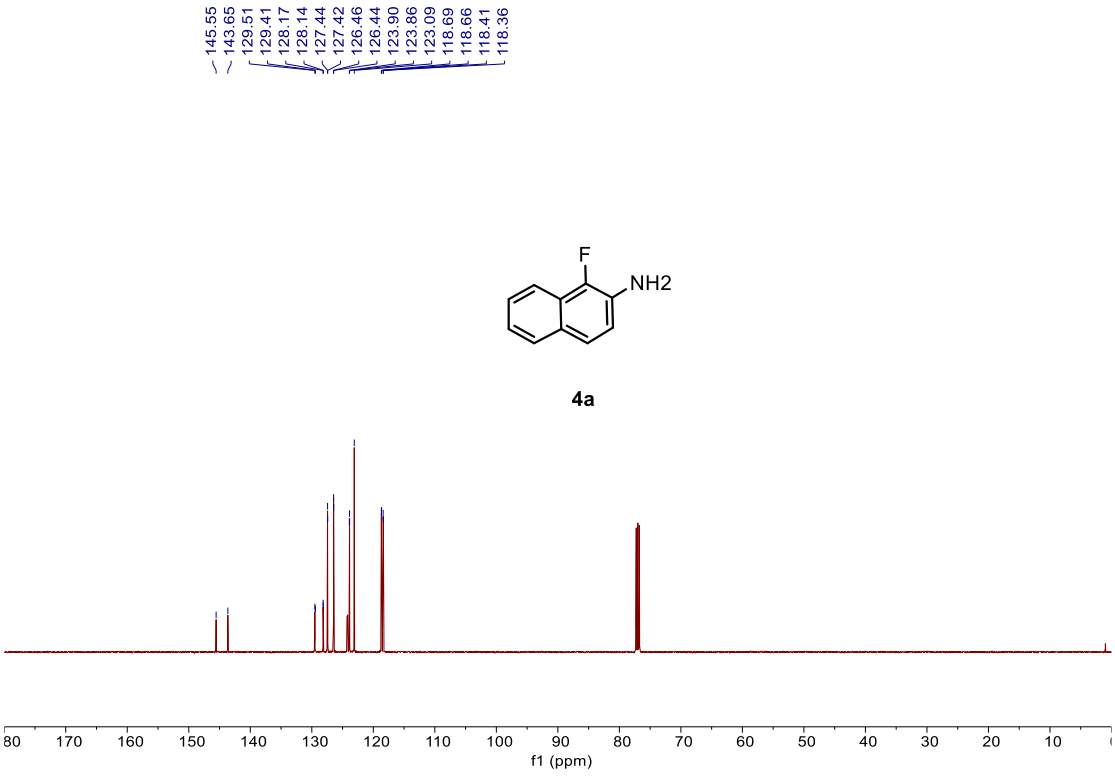
^{19}F NMR of Compound 3ao (471 MHz, $CDCl_3$)



(42) ^{1}H NMR of Compound 4a (500 M, $CDCl_3$)



^{13}C NMR of Compound 3an (126 MHz, $CDCl_3$)



^{19}F NMR of Compound 4a (471 MHz, $CDCl_3$)