Supplementary Material

A new synthetic approach to oxindoles (1,3-dihydro-*2H*-indol-2-ones) by reductive dephosphorylation with hydroiodic acid of 3-(diethylphosphoryloxy)-oxindoles, derived from isatins (1*H*-Indole-2,3-diones)

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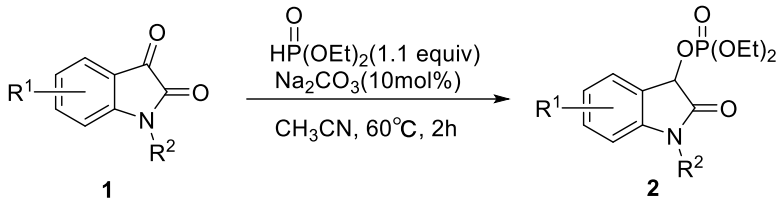
I Experimental Section

1. General methods

The reactions were monitored by thin layer chromatography (TLC) using silica gel GF254. All compounds were fully characterized by spectroscopic data. The NMR spectra were recorded on a Bruker Avance III (^{1}H: 400 MHz, ^{13}C: 100 MHz, ^{19}F NMR: 377 MHz, ^{31}P: 162 MHz), chemical shifts (δ) are expressed in ppm, and *J* values are given in Hz. $CDCl_3$ and DMSO-d_6 were used as solvents. High resolution mass spectra (HRMS) were recorded on LCMS-IT-TOF. All chemicals and solvents were used as received without further purification unless otherwise stated. Column chromatography was performed on silica gel (200–300 mesh).

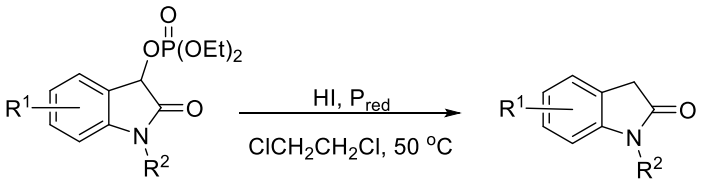
2. General procedure for preparation of oxindole derivatives 2.



1 2

A 100mL round bottomed flask was charged with isatin (1, 10 mmol), Na_2CO_3 (1 mmol), diethyl phosphite (11 mmol) and CH_3CN (20 mL). The reaction mixture was stirred at 60 °C in preheated oil bath until completion of the reaction (4 h). After completion of the reaction, the residue was purified by column chromatography to provide **2**. [1-3]

3. General procedure for preparation of Compound 3.

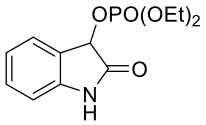


2 3

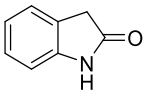
To a 10 mL screw-cap glass vial, diethyl (2-oxoindolin-3-yl) phosphate (**2**, 0.5 mmol), concentrated hydroiodic acid (0.34mL, 56%, 2.5 mmol), red phosphorus (0.2 mmol), and $ClCH_2CH_2Cl$ (2 mL) were added. Then the reaction mixture was stirred at 50 °C in a preheated oil bath until the completion of reaction for 8h. The solvent was evaporated under vacuum and the residue mixture was directly purified by flash column chromatography on silica gel to get the product **3**.

4. Large-scale preparation of compound 3a.

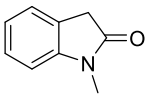
To a 100 mL screw-cap glass vial, diethyl (2-oxoindolin-3-yl) phosphate 2a (2.85g, 0.01 mol), hydroiodic acid (14mL (57%), 0.05 mol), red phosphorus (0.002 mol), and $ClCH_2CH_2Cl$ (20 mL) were added. The reaction mixture was stirred at 50 °C in a preheated oil bath until the completion of reaction (8 h). After $ClCH_2CH_2Cl$ was removed, water was added and the mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried over $MgSO_4$, and concentrated in vacuo. The crude product is recrystallized with absolute ethanol to give the desired product **3a** as a white solid (1.18 g, 88%).



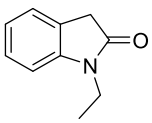
Diethyl (2-oxoindolin-3-yl) phosphate (2a): Brown oil (2.71g, 95%,). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 9.44 (s, 1H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.23 – 7.12 (m, 1H), 6.95 (m, 1H), 6.82 (d, *J* = 7.8 Hz, 1H), 5.49 (d, J_{P-H} = 12.9 Hz, 1H), 4.23 – 4.07 (m, 4H), 1.27 (m, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 173.1 (d, *J* = 6.5 Hz), 141.0, 129.6, 125.0, 123.5 (d, *J* = 2.9 Hz), 121.8, 109.8, 71.9 (d, *J* = 5.9 Hz), 63.7 (d, *J* = 6.2 Hz), 63.5 (d, *J* = 6.0 Hz), 15.0 (d, *J* = 7.1 Hz, 2C). **^{31}P NMR** (162 MHz, Chloroform-*d*) δ -1.25(s). **HRMS (ESI)**: m/z calcd for $C_{12}H_{16}NO_5P$ $[M+H]^+$: 286.0839; found: 286.0828.



Indolin-2-one (3a): White solid; mp: 96-97 °C [Lit.92-94 °C][4] (58.6mg, 88%). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 9.42 (s, 1H), 7.13 (d, *J* = 7.3 Hz, 2H), 6.93 (t, *J* = 7.5 Hz, 1H), 6.83 (d, *J* = 7.8 Hz, 1H), 3.46 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 178.6, 142.8, 128.0, 125.4, 124.6, 122.4, 110.0, 36.5. **HRMS (ESI)**: m/z calcd for C_8H_7NO $[M-H]^-$: 132.0455; found: 132.0445.

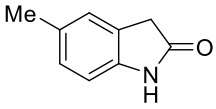


1-Methylindolin-2-one (3b): Yellow solid; mp: 79-80 °C [Lit. 82-83 °C][5] (55.9mg, 76%). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.15 (m, 2H), 6.93 (t, *J* = 7.5 Hz, 1H), 6.70 (d, *J* = 7.8 Hz, 1H), 3.38 (s, 2H), 3.09 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 175.0, 145.1, 127.8, 124.4, 124.2, 122.3, 108.0, 35.6, 26.1. **HRMS (ESI)**: m/z calcd for C_9H_9NO $[M+H]^+$: 148.0757; found: 148.0755.

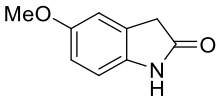


1-Ethylindolin-2-one (3c): White solid; mp: 95-96 °C [Lit.92-93 °C][5] (62.1mg, 77%). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.16 (m, 2H), 6.93 (t, *J* = 7.9 Hz, 1H), 6.75 (d, *J* = 7.8 Hz, 1H), 3.67 (q, *J* = 7.2 Hz, 2H), 3.40 (s, 2H), 1.17 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 174.7, 144.3, 127.8, 124.7, 124.5, 122.1, 108.2, 35.8, 34.6, 12.7. **HRMS (ESI)**: m/z calcd for $C_{10}H_{11}NO$ $[M+H]^+$: 162.0913; found: 162.0911.

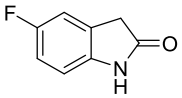
1-Benzylindolin-2-one (3d): Yellow solid; mp: 70-71 °C [Lit.67-68 °C][5] (84.7mg, 76%). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.16 (m, 6H), 7.02 (t, *J* = 7.7 Hz, 1H), 6.86 (t, *J* = 7.4 Hz, 1H), 6.59 (d, *J* = 7.8 Hz, 1H), 4.77 (s, 2H), 3.46 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 175.1, 144.2, 135.9, 128.7 (2C), 127.7, 127.5, 127.3 (2C), 124.4, 124.3, 122.3, 109.0, 43.6, 35.7. **HRMS (ESI)**: m/z calcd for $C_{15}H_{13}NO$ [M+H] $^+$: 224.1070; found: 224.1068.



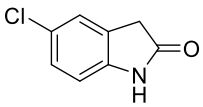
3,5-Dichloroindolin-2-one (3e): White solid; mp: 170-171 °C [Lit.172-175 °C][6] (69.9mg, 95%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.26 (s, 1H), 6.96 (m, 2H), 6.69 (d, *J* = 7.8 Hz, 1H), 3.43 (s, 2H), 2.22 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.4, 141.2, 130.0, 127.7, 125.9, 125.1, 108.9, 35.8, 20.7. **HRMS (ESI)**: m/z calcd for C_9H_9NO [M-H]$^-$: 146.0611; found: 146.0600.



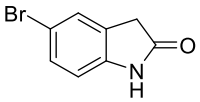
5-Methoxyindolin-2-one (3f): Brown solid; mp: 175-176 °C [Lit.176-178 °C][6] (75.1mg, 92%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.18 (s, 1H), 6.85 (s, 1H), 6.71 (s, 2H), 3.68 (s, 3H), 3.42 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.2, 154.6, 137.0, 127.1, 112.2, 111.5, 109.3, 55.4, 36.2. **HRMS (ESI)**: m/z calcd for $C_9H_9NO_2$ [M-H]$^-$: 162.0561; found: 162.0551.



5-Fluoroindolin-2-one (3g): White solid; mp: 142-143 °C [Lit.143-146 °C][6] (63.5mg, 84%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.37 (s, 1H), 7.07 (d, *J* = 8.5 Hz, 1H), 6.97 (t, *J* = 10.5 Hz, 1H), 6.77 (m, 1H), 3.48 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.2, 157.8 (d, $J_{F\text{-}C}$ = 235.6 Hz), 139.9, 127.7, 113.6 (d, *J* = 23.2 Hz), 112.2 (d, *J* = 24.6 Hz), 109.6 (d, *J* = 8.3 Hz), 36.2. **^{19}F NMR** (377 MHz, DMSO-d_6) δ -121.01 (s). **HRMS (ESI)**: m/z calcd for C_8H_6FNO [M-H]$^-$: 150.0361; found: 150.0349.

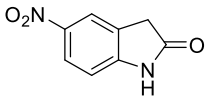


5-Chloroindolin-2-one (3h): Brown solid; mp: 198-199 °C [Lit. 198-199 °C][7] (68.7mg, 82%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.47 (s, 1H), 7.19 (m, 2H), 6.78 (d, *J* = 8.2 Hz, 1H), 3.47 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 172.0, 141.9, 132.3, 130.9, 126.0, 122.4, 109.3, 53.5, 21.4. **HRMS (ESI)**: m/z calcd for C_8H_6ClNO [M-H]$^-$: 166.0065; found: 166.0054.

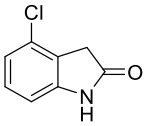


5-bromoindolin-2-one (3i): Brown solid; mp: 220-221°C (81.6mg, 77%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.46 (s, 1H), 7.31 (s, 2H), 6.74 (s, 1H), 3.47 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 175.9, 130.1,

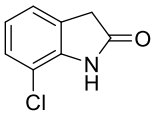
128.5, 127.2, 112.8, 110.9, 35.8. **HRMS (ESI)**: m/z calcd for C_8H_6BrNO [M-H]$^-$: 209.9560; found: 209.9550.



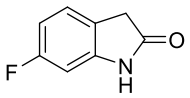
5-Nitroindolin-2-one (3j): Brown solid; mp: 239-240 °C [Lit. 239-240 °C][5] (53.4mg, 60%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.53 (s, 1H), 7.27 (s, 1H), 7.22 (d, *J* = 7.8 Hz, 1H), 6.96 (d, *J* = 7.8 Hz, 1H), 3.67 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.8, 150.3, 141.8, 127.1, 125.0, 120.1, 109.0, 35.7. **HRMS (ESI)**: m/z calcd for $C_8H_6N_2O_3$ [M-H]$^-$: 177.0306; found: 177.0297.



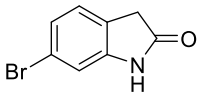
4-Chloroindolin-2-one (3k): Yellow solid; mp: 215-216 °C [Lit. 216-218 °C][7] (61.2mg, 73%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.59 (s, 1H), 7.19 (t, *J* = 8.0 Hz, 1H), 6.96 (d, *J* = 8.2 Hz, 1H), 6.77 (d, *J* = 7.7 Hz, 1H), 3.48 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 175.2, 145.1, 129.4, 129.0, 124.2, 121.0, 108.0, 35.3. **HRMS (ESI)**: m/z calcd for C_8H_6ClNO [M-H]$^-$: 166.0065; found: 166.0054.



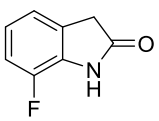
7-Chloroindolin-2-one (3l): Brown solid; mp: 216-217 °C [Lit. 214-217 °C][7] (73.7mg, 88%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.76 (s, 1H), 7.21 (d, *J* = 8.2 Hz, 1H), 7.15 (d, *J* = 7.2 Hz, 1H), 6.93 (t, *J* = 7.8 Hz, 1H), 3.58 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.1, 141.3, 127.7, 127.4, 123.0, 122.4, 113.3, 36.5. **HRMS (ESI)**: m/z calcd for C_8H_6ClNO [M-H]$^-$: 166.0065; found: 166.0055.



6-Fluoroindolin-2-one (3m): Brown solid; mp: 129-130 °C [Lit. 131-132 °C][5] (57.4mg, 76%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.49 (s, 1H), 7.17 (m, 1H), 6.69 (t, *J* = 8.0 Hz, 1H), 6.61 (d, *J* = 9.3 Hz, 1H), 3.42 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.8, 161.9 (d, *J* = 240.5 Hz), 145.1 (d, J_{F-C} = 12.2 Hz), 123.5 (m), 107.1 (d, *J* = 22.1 Hz), 97.3 (d, *J* = 26.9 Hz), 35.2. **^{19}F NMR** (377 MHz, DMSO-d_6) δ -114.14 (s). **HRMS (ESI)**: m/z calcd for C_8H_6FNO [M-H]$^-$: 150.0361; found: 150.0349.

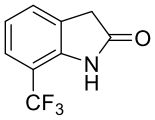


6-Bromoindolin-2-one (3n): Brown solid; mp: 204-205 °C (74.2mg, 70%). **^{1}H NMR** (400 MHz, DMSO-*d*6) δ 10.48 (s, 1H), 7.10 (m, 2H), 6.93 (s, 1H), 3.42 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 176.2, 145.4, 126.2, 125.2, 123.6, 119.9, 111.9, 35.4. **HRMS (ESI)**: m/z calcd for C_8H_6BrNO [M-H]$^-$: 209.9560; found: 209.9549.

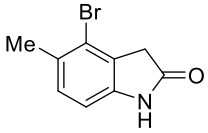


7-Fluoroindolin-2-one (3o): Yellow solid; mp: 179-180 °C (48.3mg, 64%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.84 (s, 1H), 7.06 (dd, *J* = 12.8, 8.7 Hz, 2H), 6.92 (m, 1H), 3.54 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-

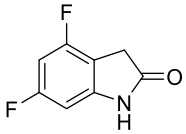
d_6) δ 176.6, 146.6 (d, J_{F-C} = 241.2 Hz), 131.0 (d, J = 12.0 Hz), 129.4, 122.4, 120.9, 114.9 (d, J = 17.1 Hz), 36.4. **^{19}F NMR** (377 MHz, DMSO-d_6) δ -133.26 (s). **HRMS (ESI)**: m/z calcd for C_8H_6FNO [M-H]$^-$: 150.0361; found: 150.0349.



3-Chloro-1-methylindolin-2-one (3p): Yellow solid; mp: 182- 183 °C (59.3mg, 59%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.83 (s, 1H), 7.44 (m, 2H), 7.08 (t, J = 7.7 Hz, 1H), 3.57 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 177.3, 141.3, 128.7, 128.4, 124.2 (d, J = 4.5 Hz), 124.2 (d, J_{F-C} = 269.8 Hz), 121.6, 110.7 (d, J = 32.7 Hz), 35.4. **^{19}F NMR** (377 MHz, DMSO-d_6) δ -60.17 (s). **HRMS (ESI)**: m/z calcd for $C_9H_6F_3NO$ [M-H]$^-$: 200.0329; found: 200.0318.

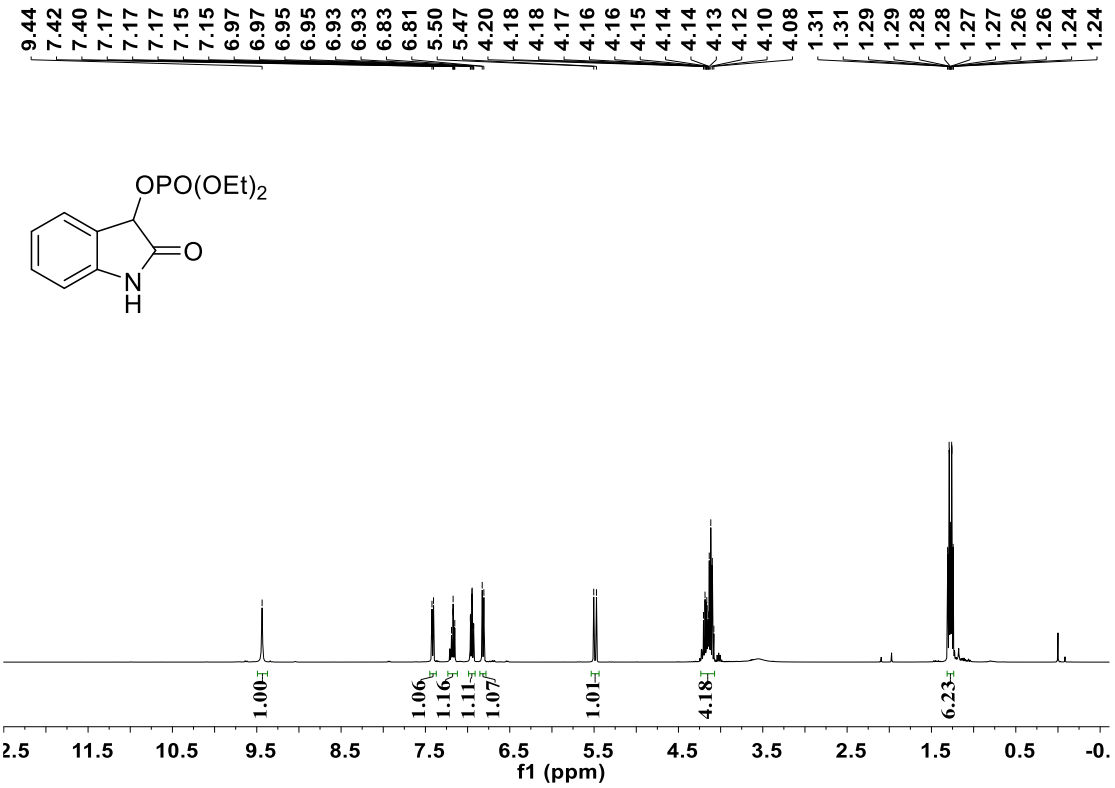


4-Bromo-5-methylindolin-2-one (3q): White solid; mp: 229-230 °C (76.8mg, 68%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.51 (s, 1H), 7.14 (s, 1H), 6.72 (s, 1H), 3.40 (s, 2H), 2.27 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 175.0, 142.3, 129.6, 129.2, 126.9, 120.6, 108.2, 37.7, 21.6. **HRMS (ESI)**: m/z calcd for C_9H_8BrNO [M-H]$^-$: 223.9717; found: 223.9708.

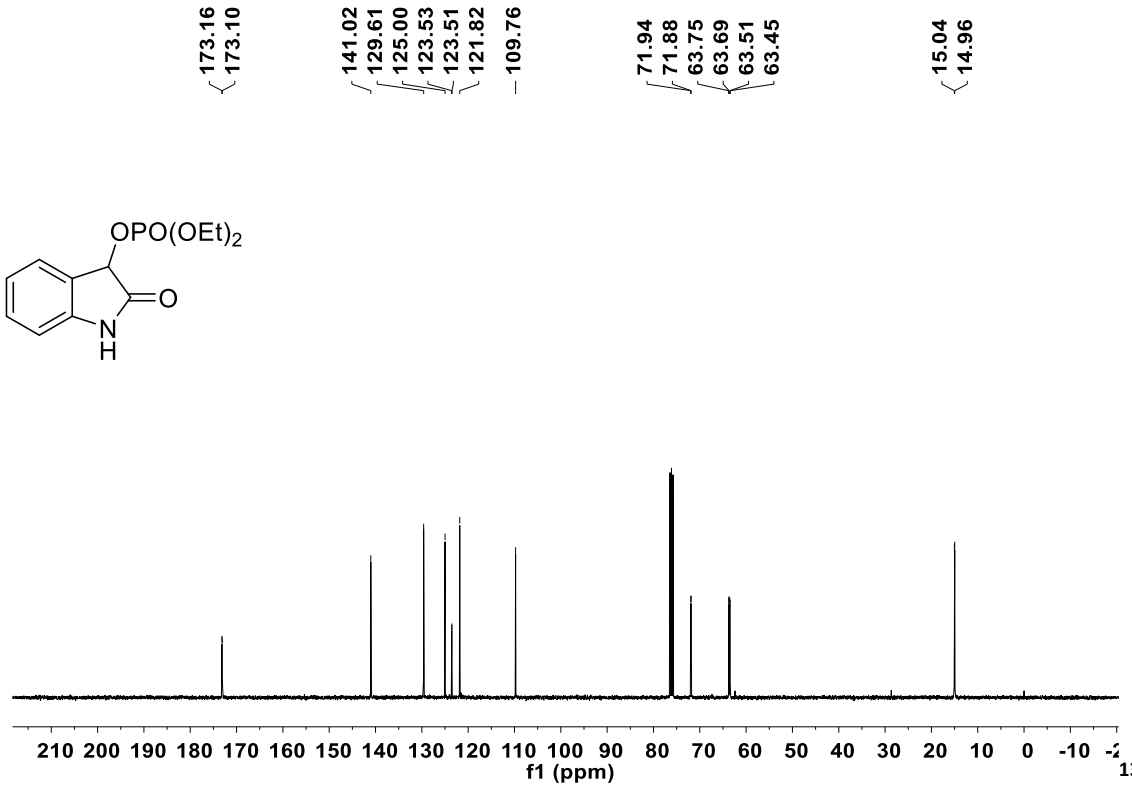


4,6-Fifluoroindolin-2-one (3r): Yellow solid; mp: 182-183 °C (52.4mg, 62%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.73 (s, 1H), 6.71 (t, J = 10.9 Hz, 1H), 6.51 (d, J = 10.7 Hz, 1H), 3.49 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 175.8, 162.3 (dd, J_{F-C} = 242.8, 13.2 Hz), 157.4 (dd, J_{F-C} = 245.1, 14.9 Hz), 146.5 (dd, J = 14.7, 12.5 Hz), 107.4 (dd, J = 22.0, 3.3 Hz), 96.2 (m), 94.2 (dd, J = 27.1, 3.6 Hz), 32.2. **^{19}F NMR** (377 MHz, DMSO-d_6) δ -110.55 (s), -114.53 (s). **HRMS (ESI)**: m/z calcd for $C_{15}H_{11}F_2NO$ [M-H]$^-$: 258.0736; found: 258.0727.

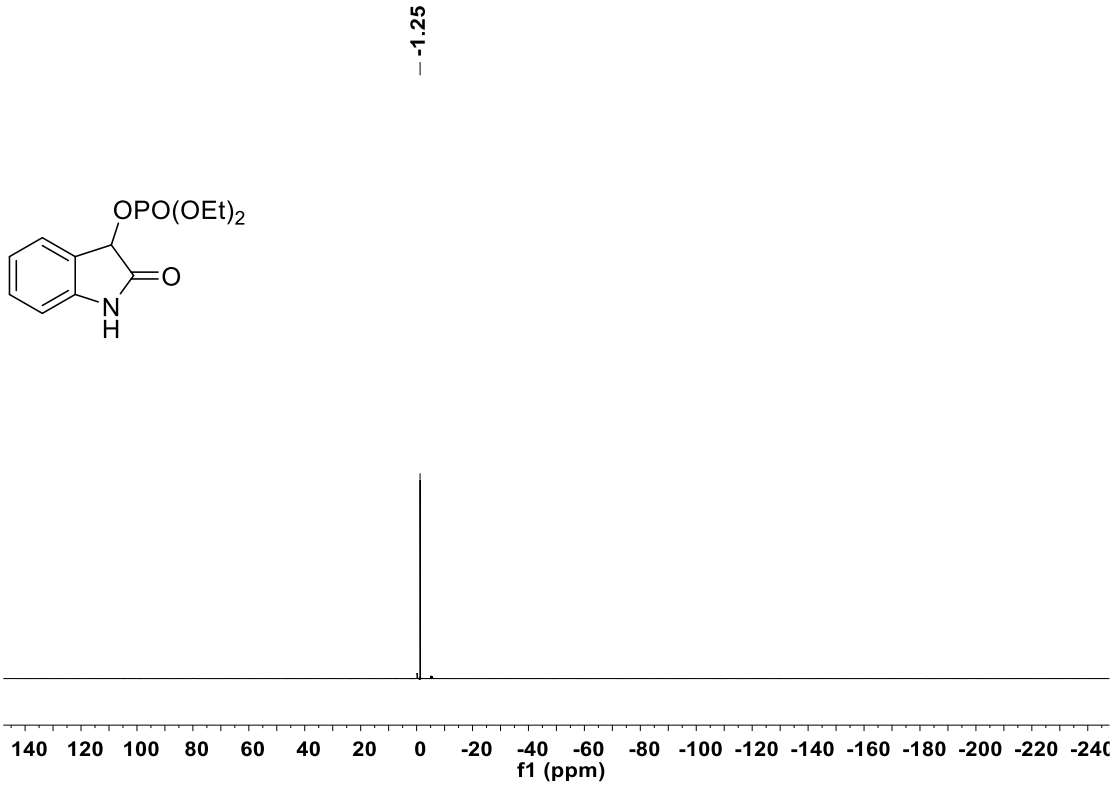
II Spectroscopic of Compounds



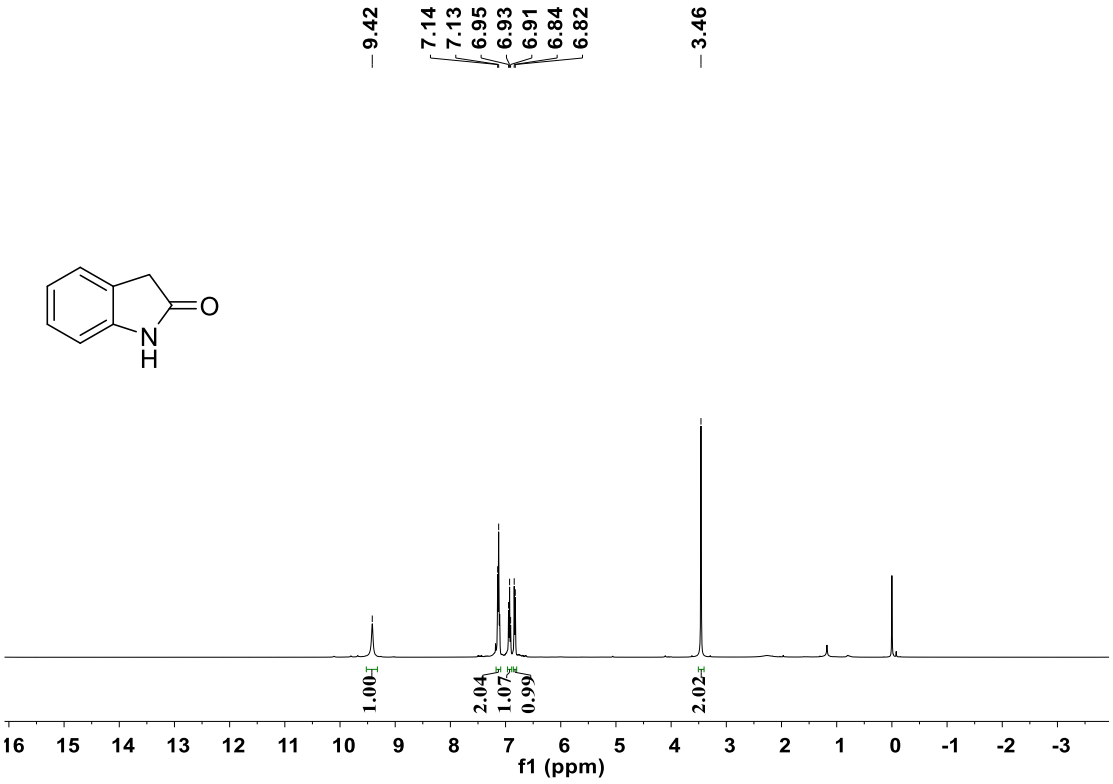
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of **2a**



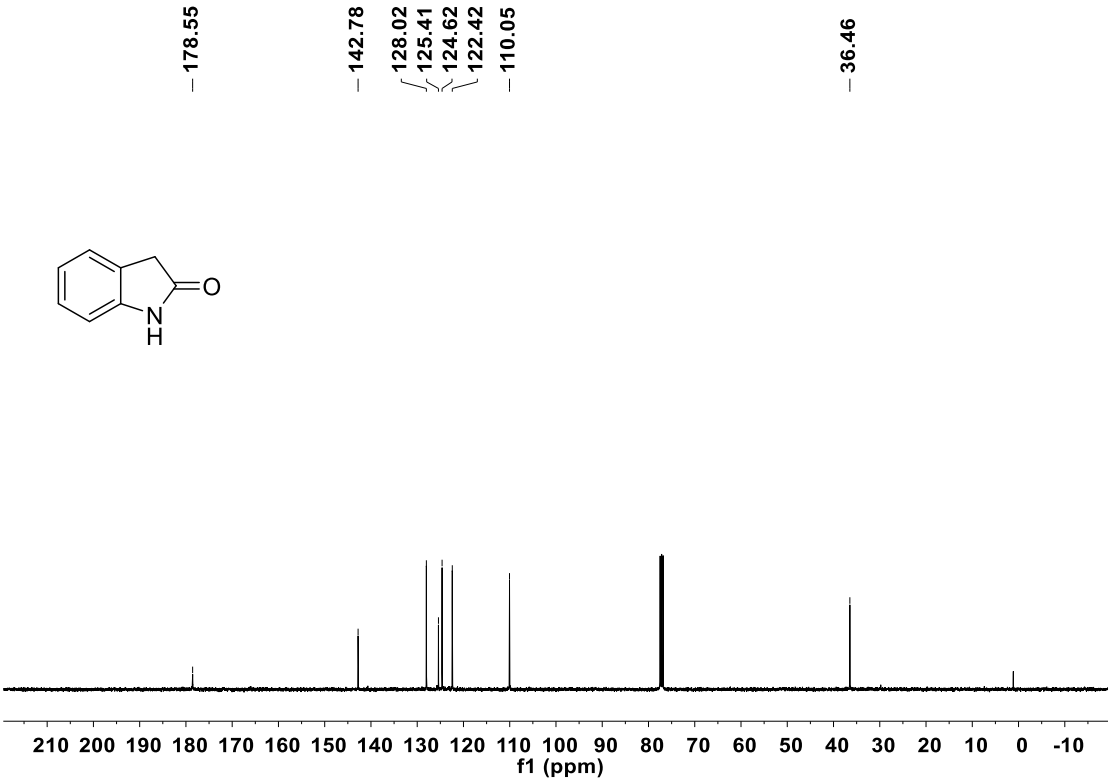
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of **2a**



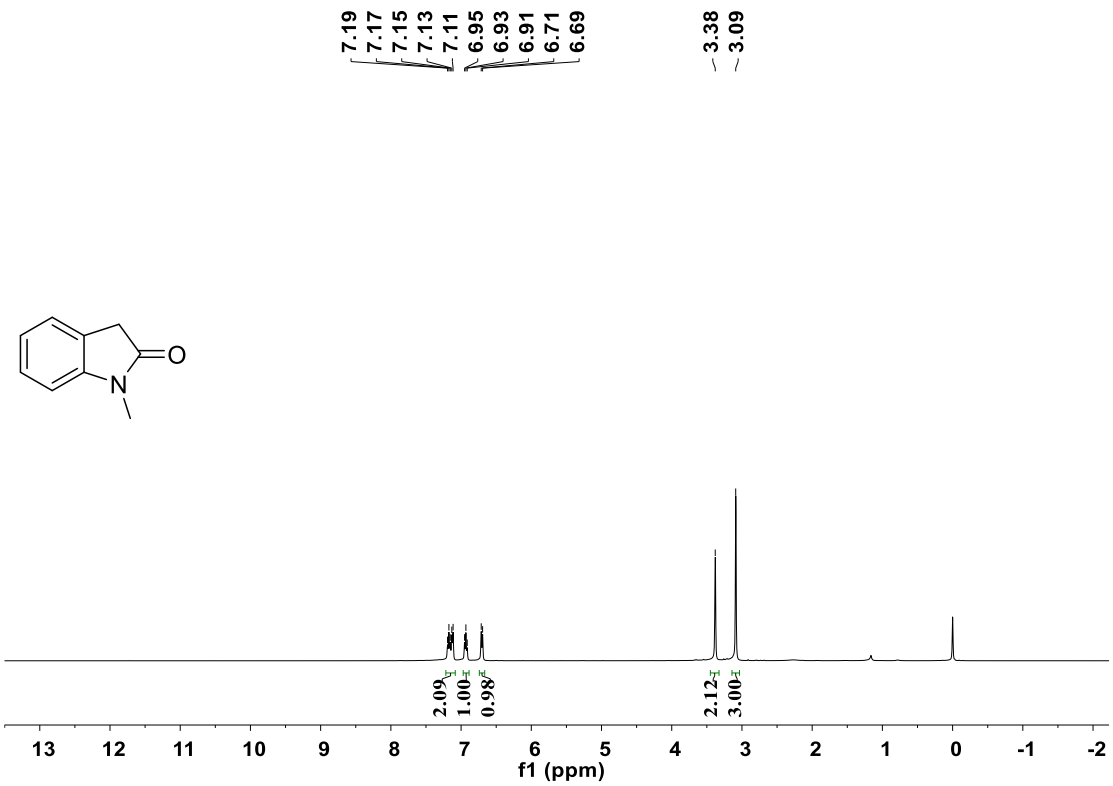
^{31}P NMR spectrum (377 MHz, $CDCl_3$) of **2a**



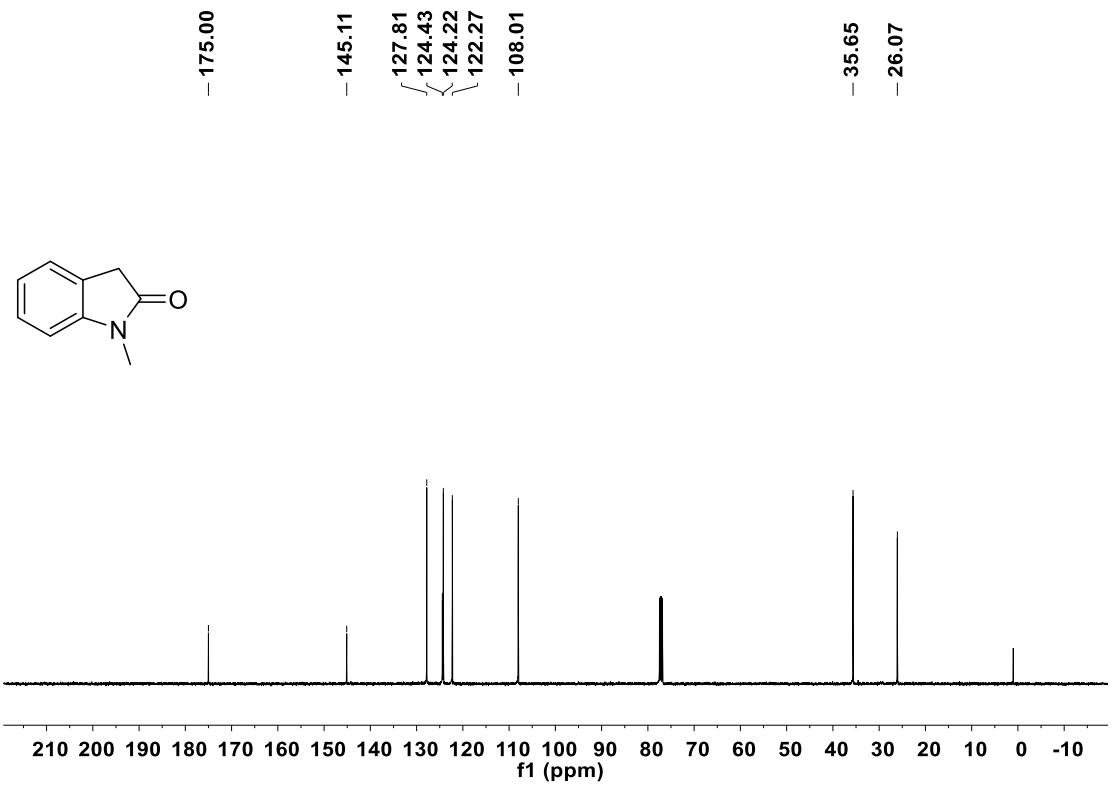
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of **3a**



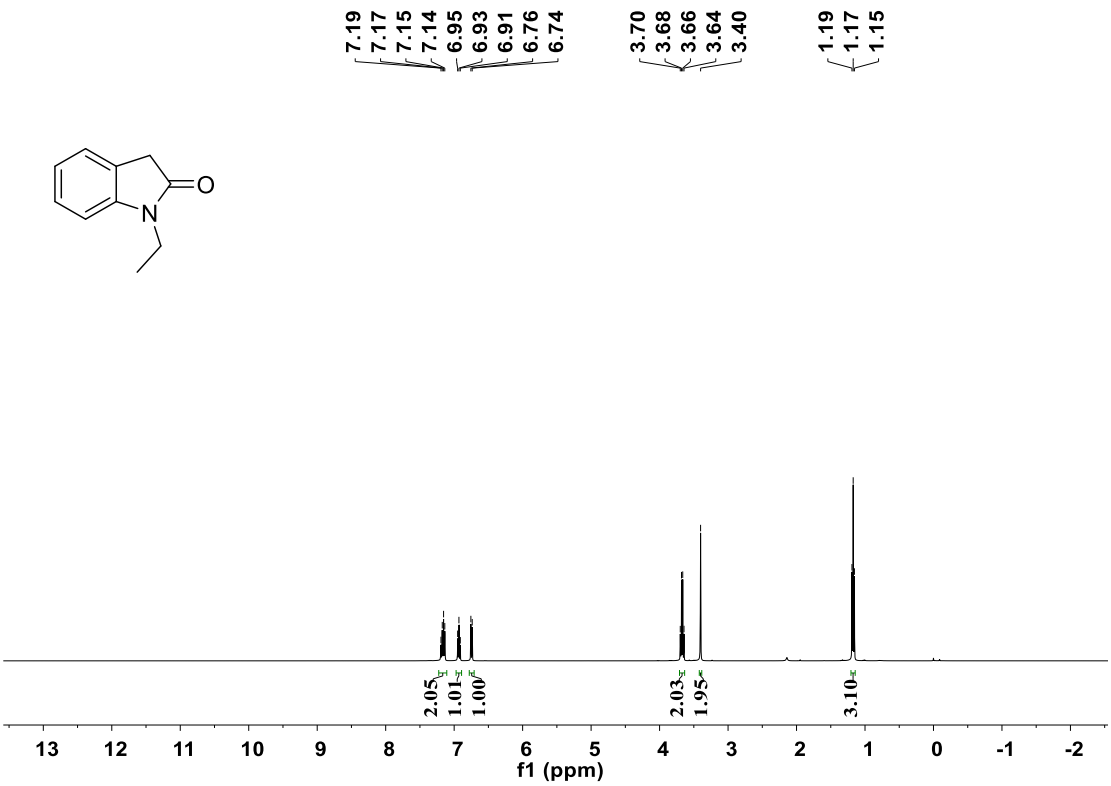
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of **3a**



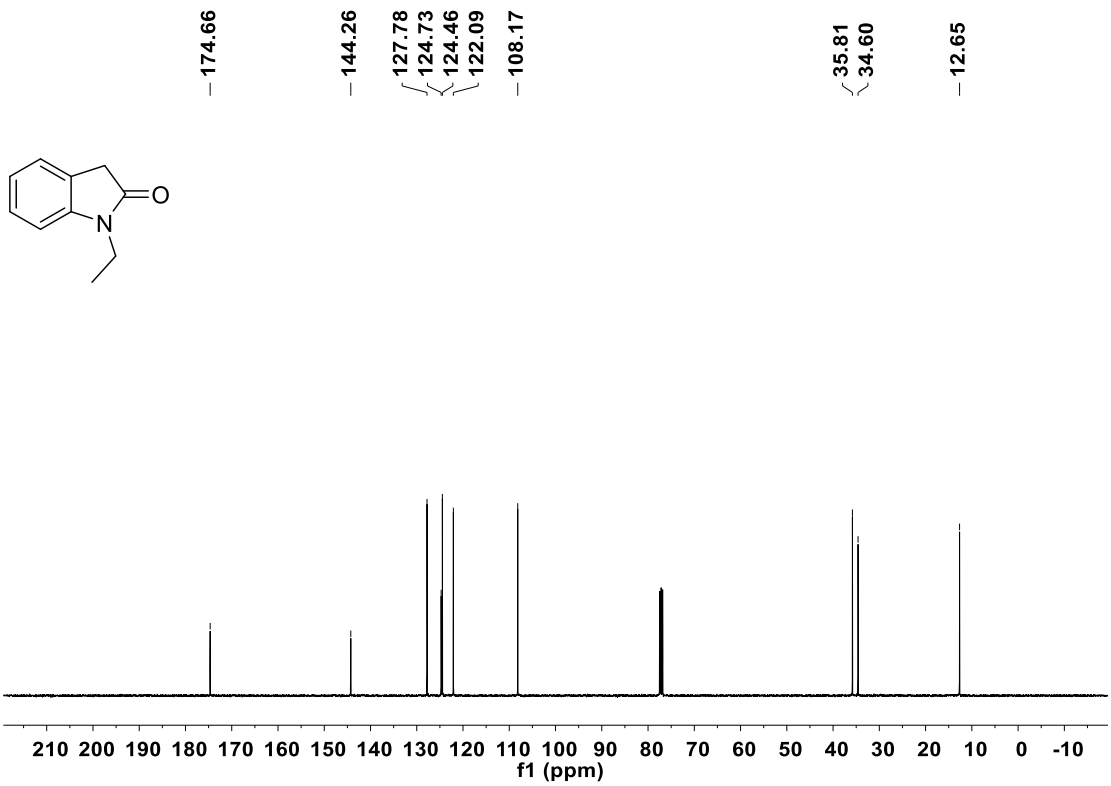
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of **3b**



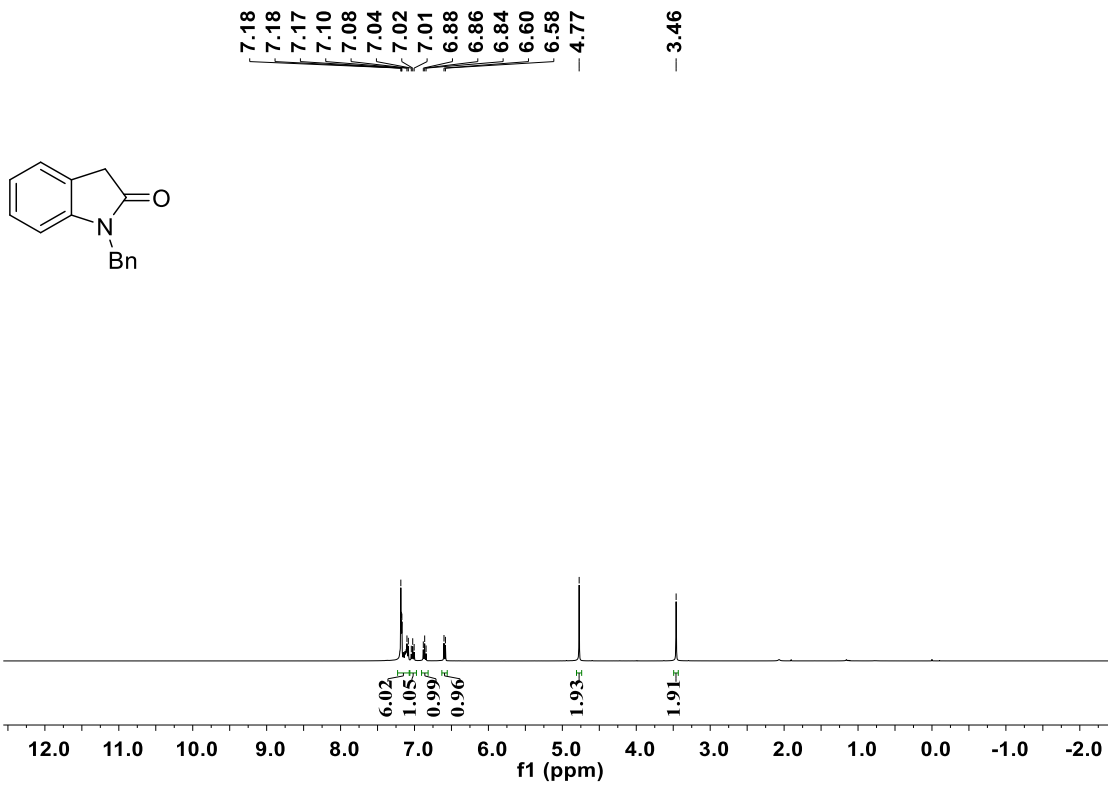
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of **3b**



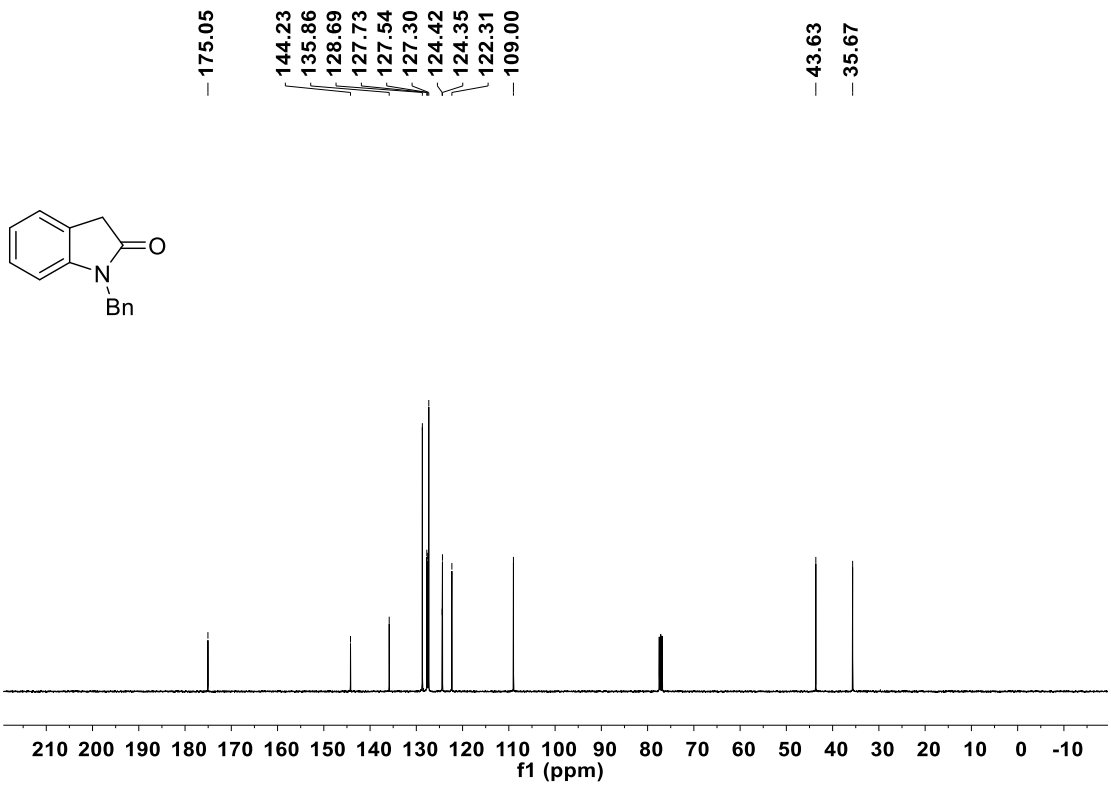
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of **3c**



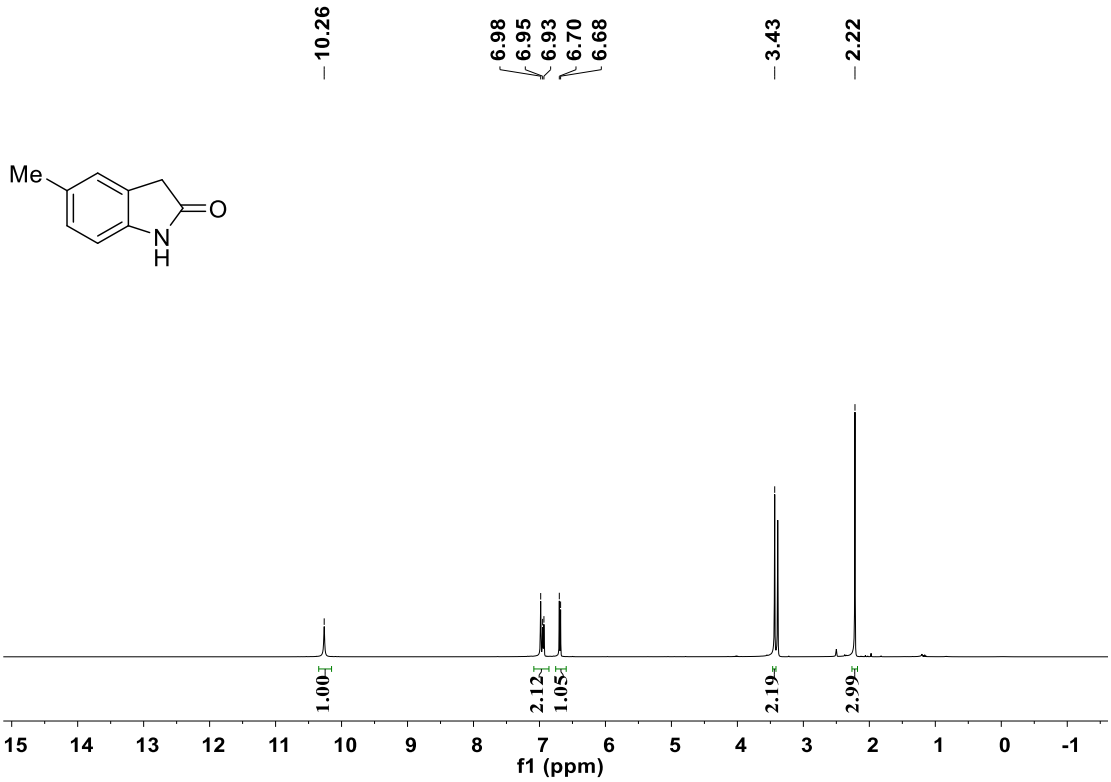
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of **3c**



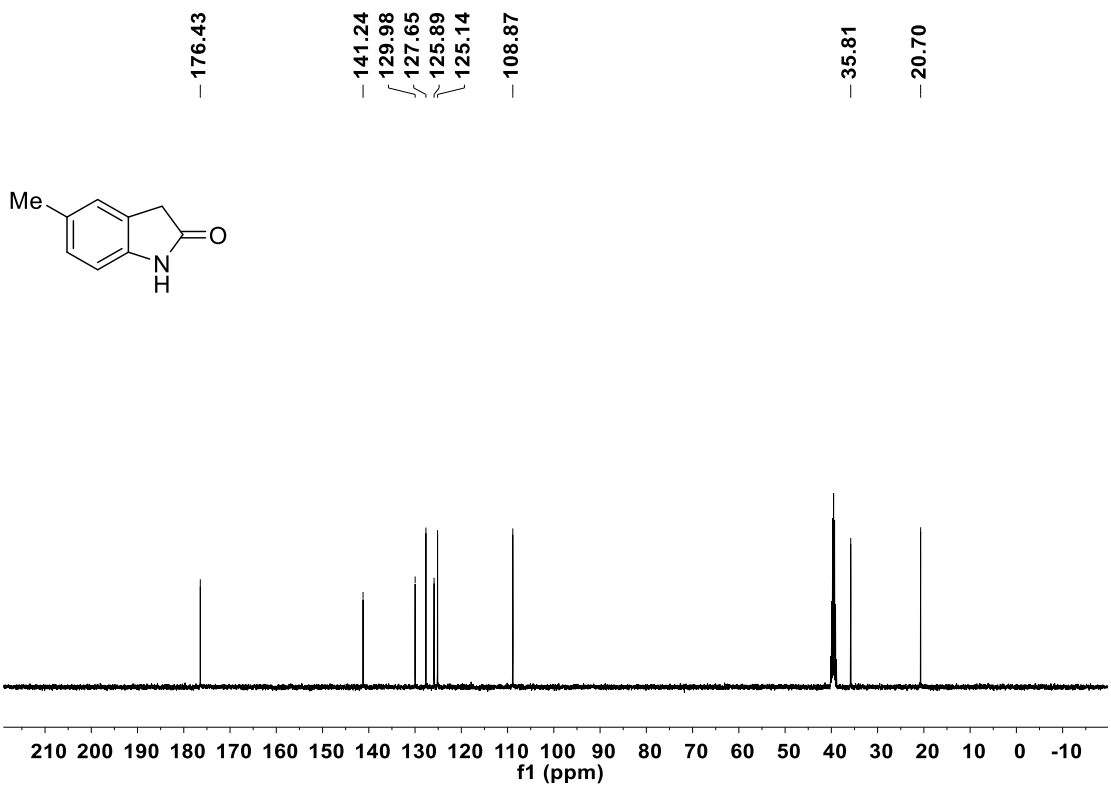
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of **3d**



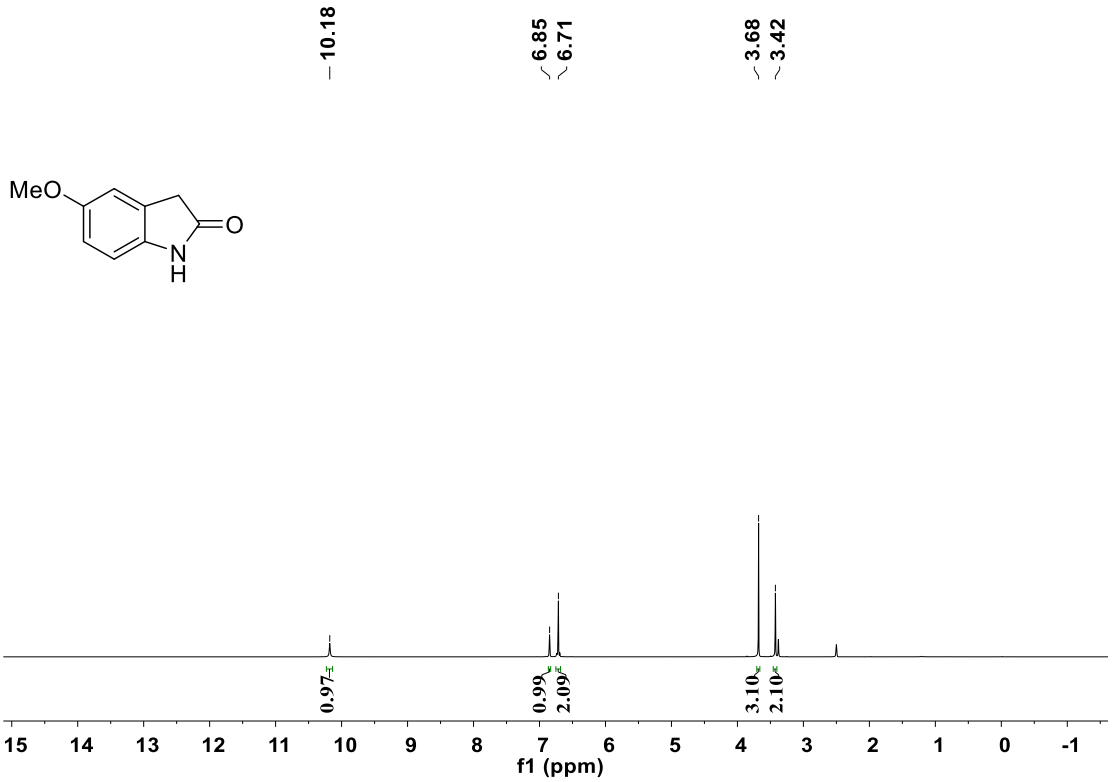
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of **3d**



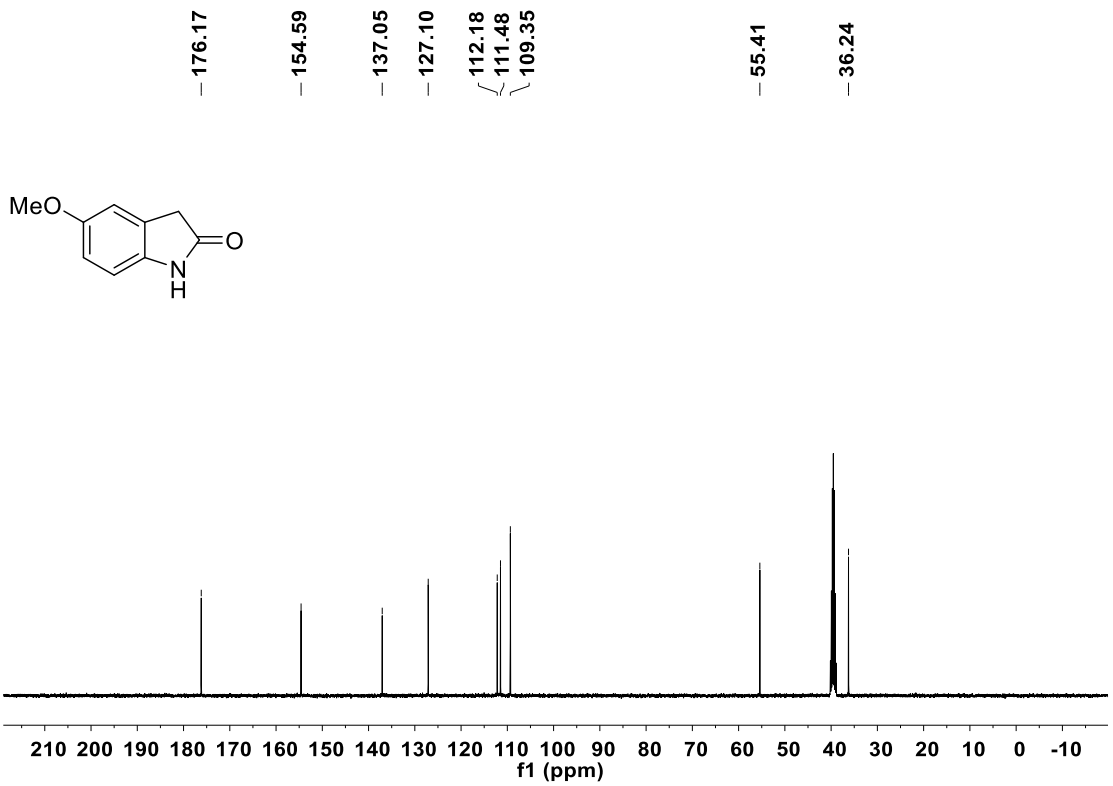
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3e**



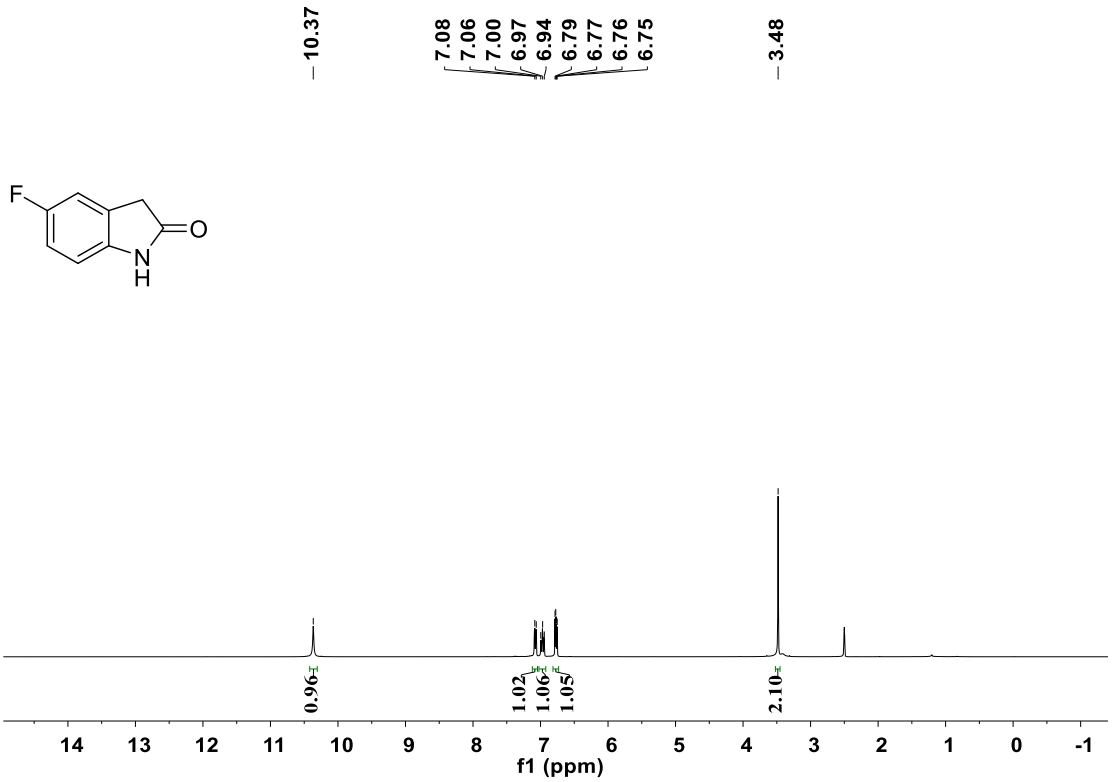
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3e**



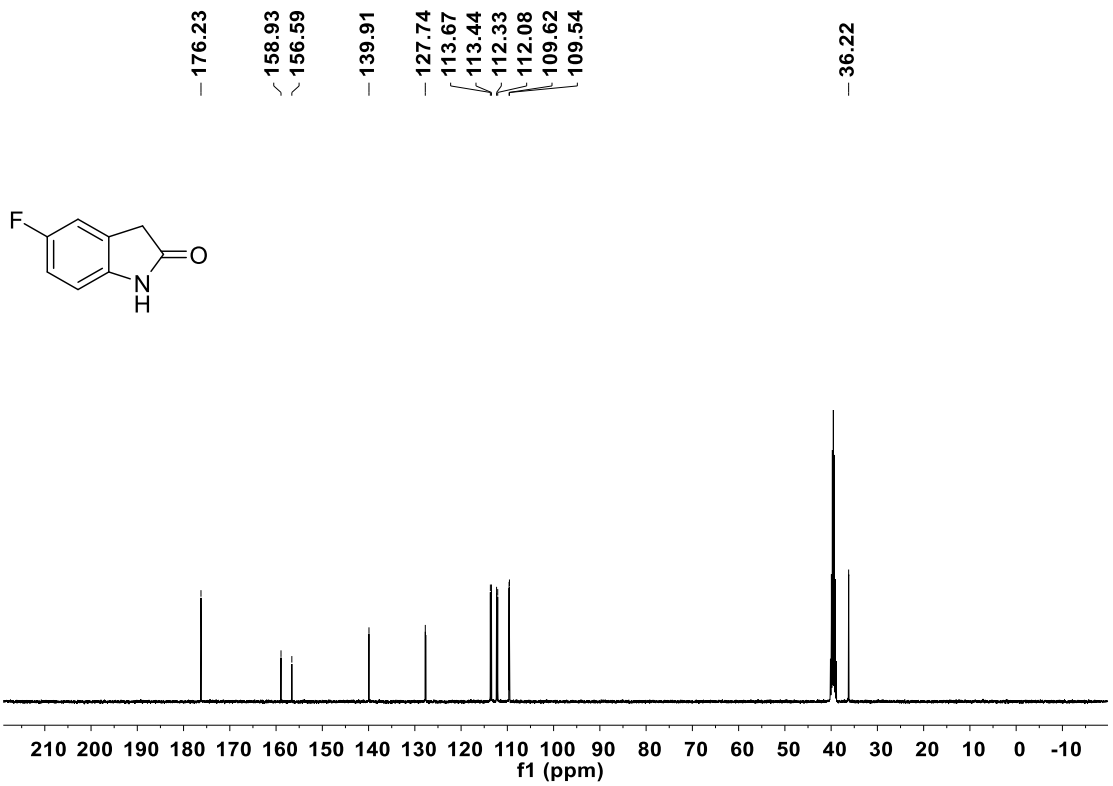
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3f**



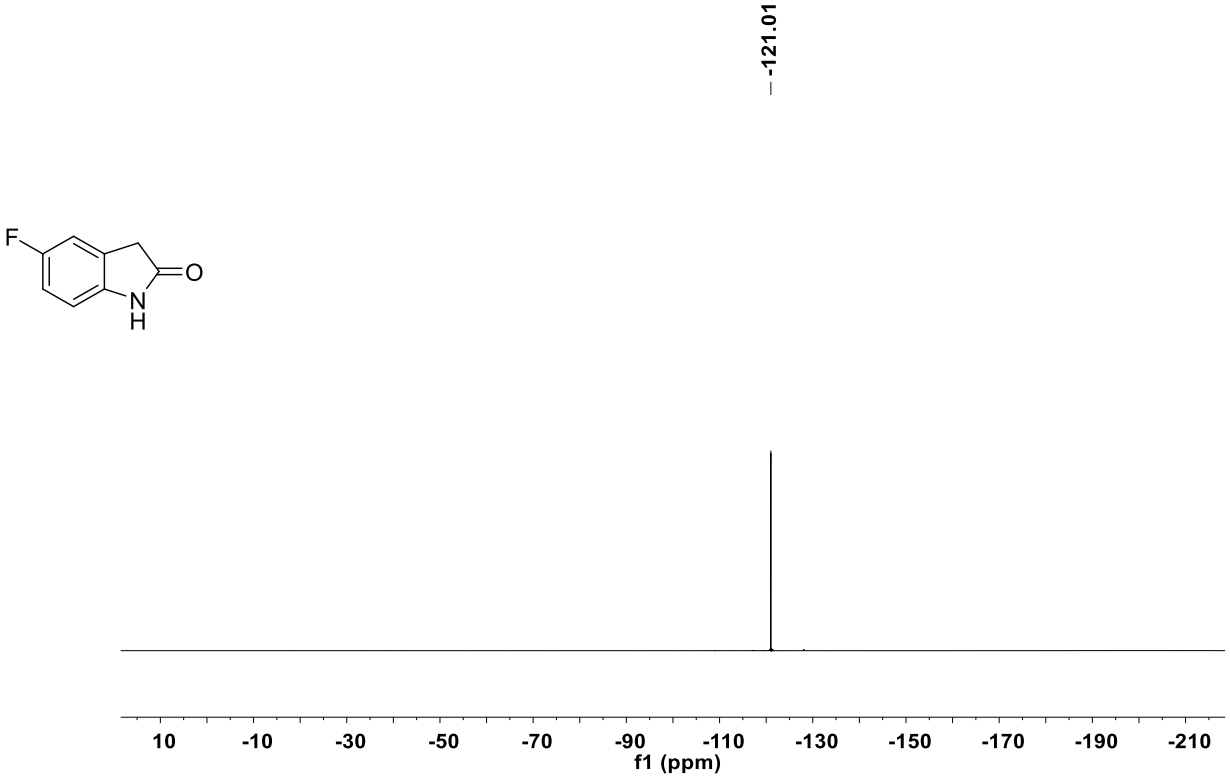
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3f**



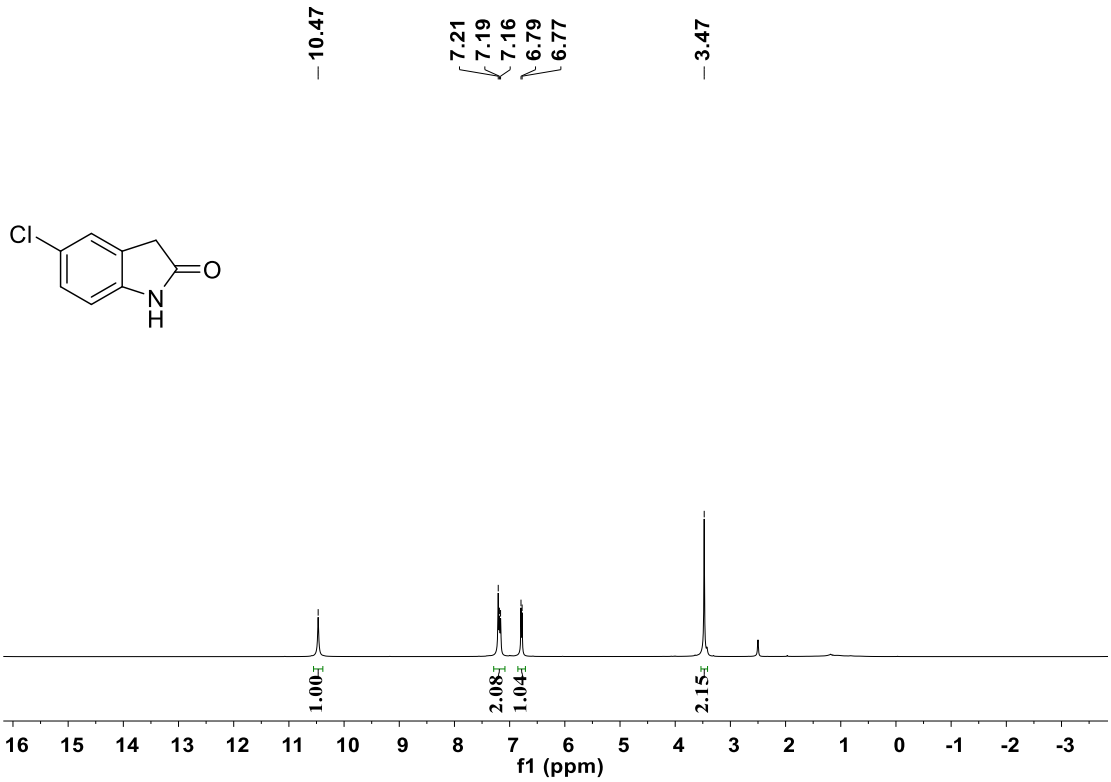
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3g**



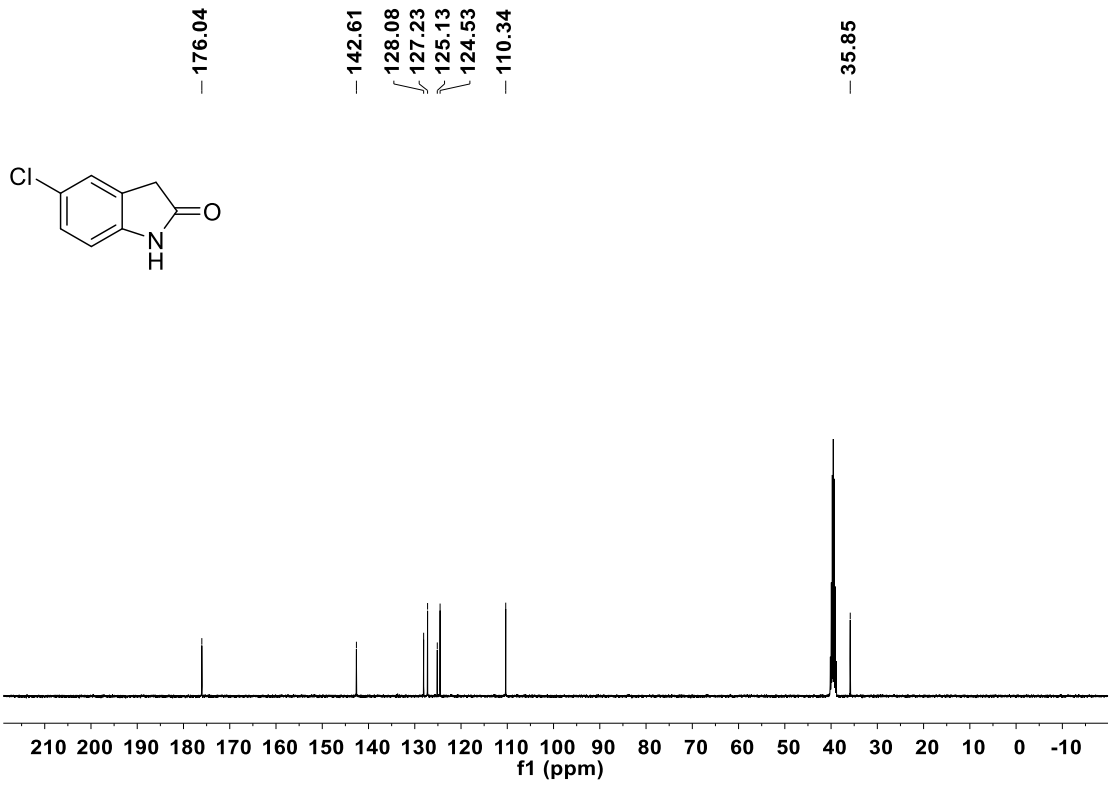
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3g**



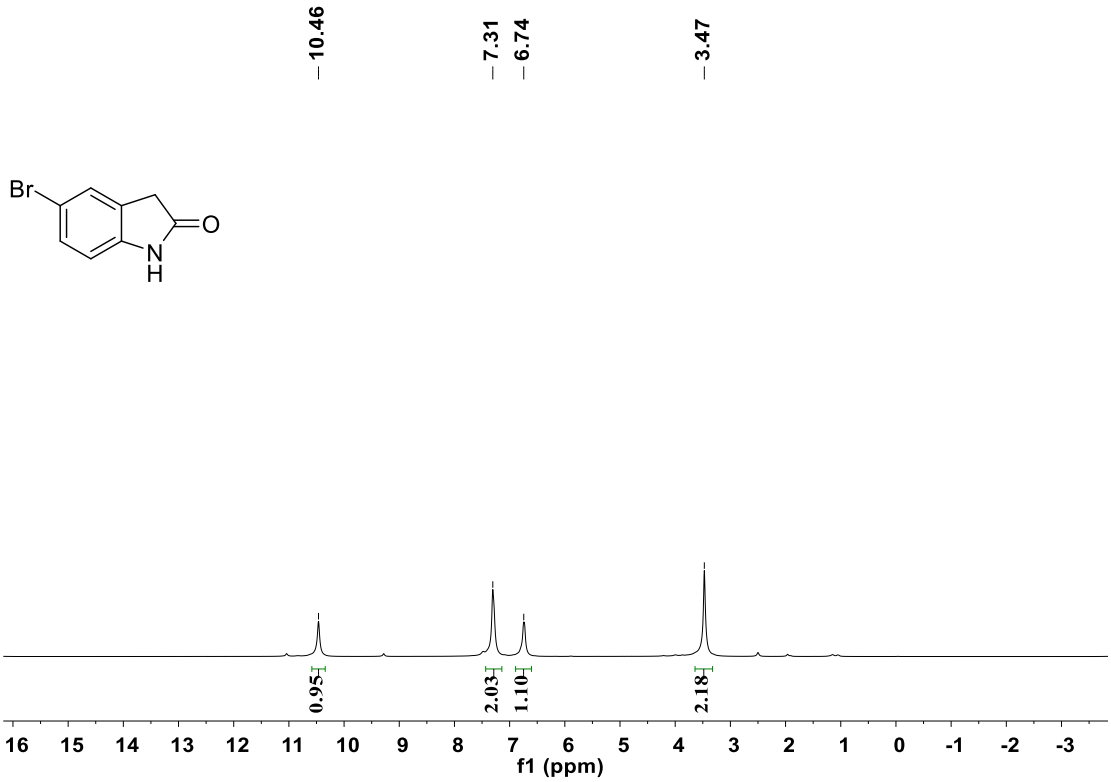
^{19}F NMR spectrum (377 MHz, DMSO-d_6) of **3g**



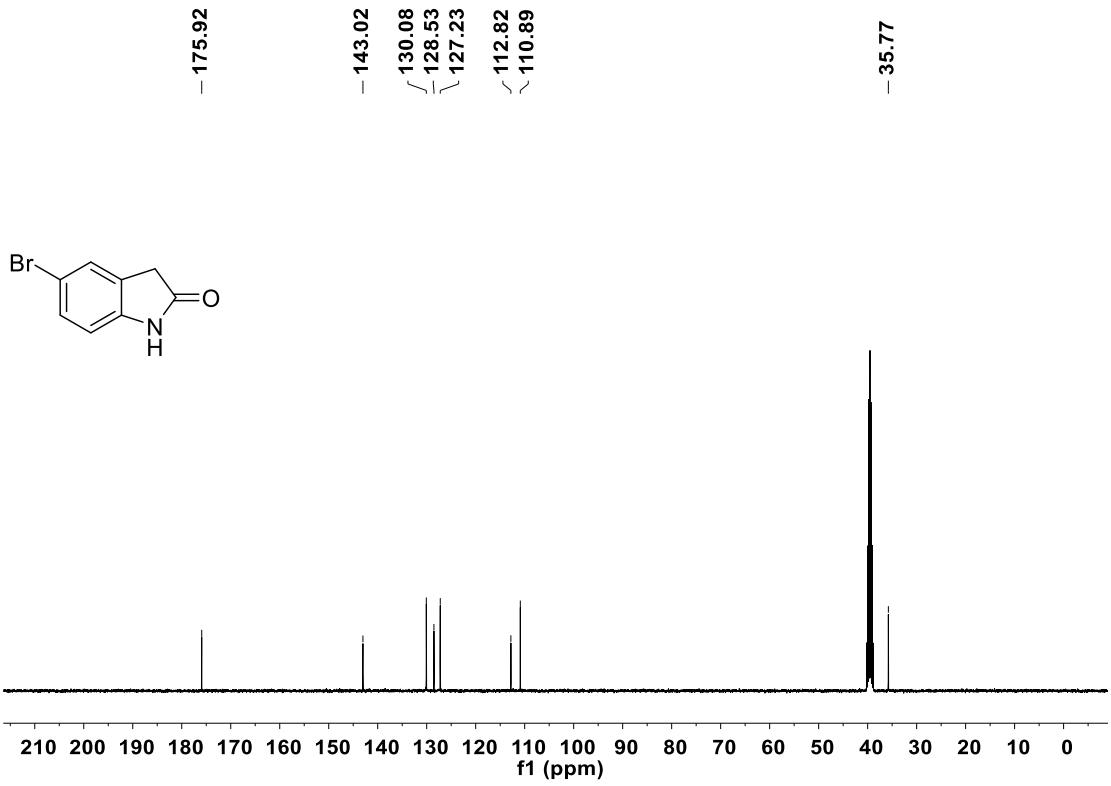
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3h**



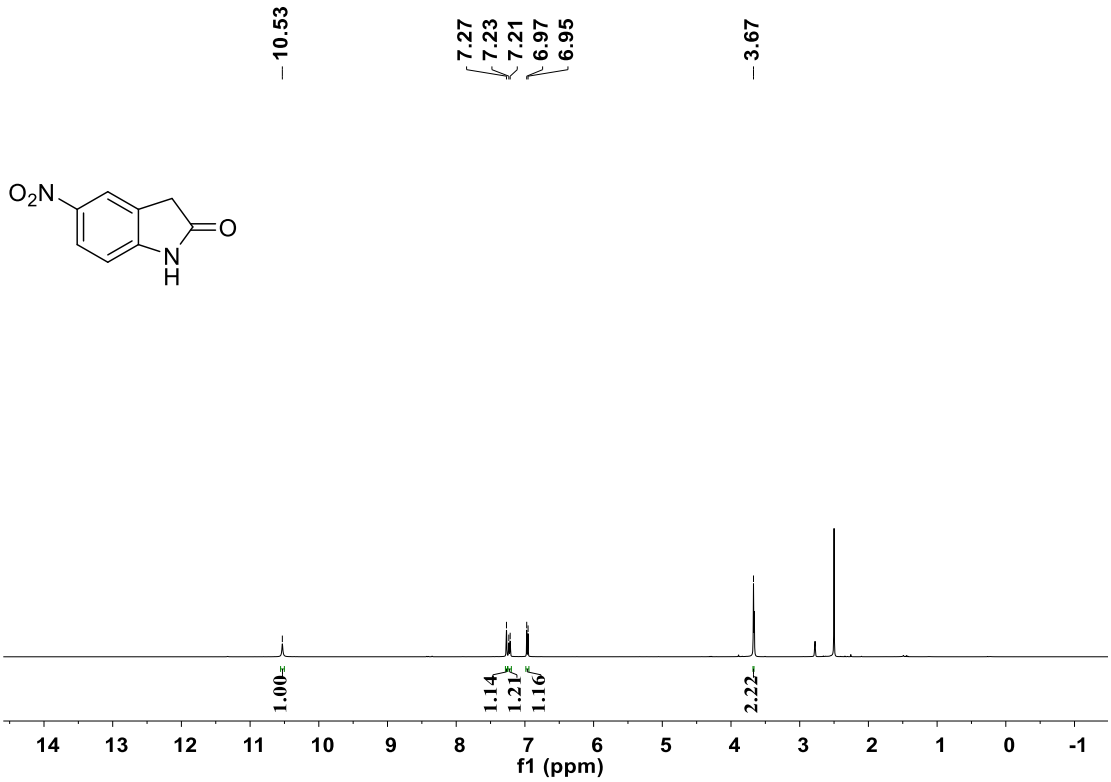
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3h**



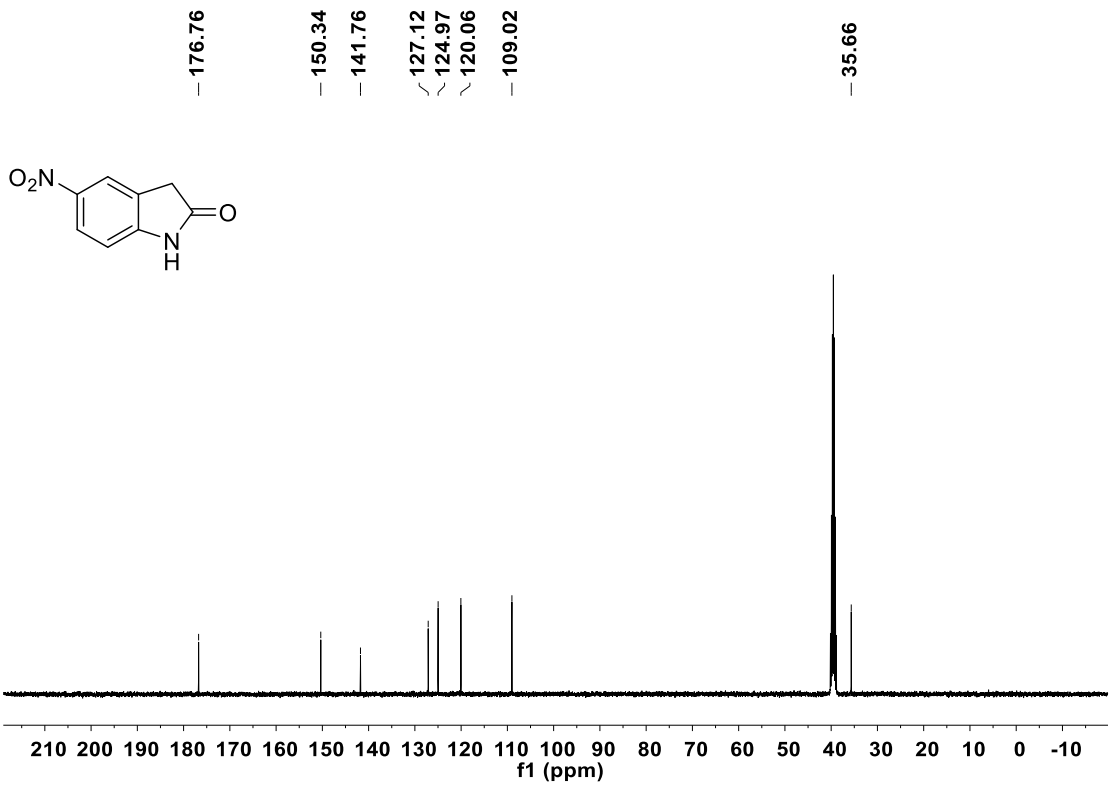
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3i**



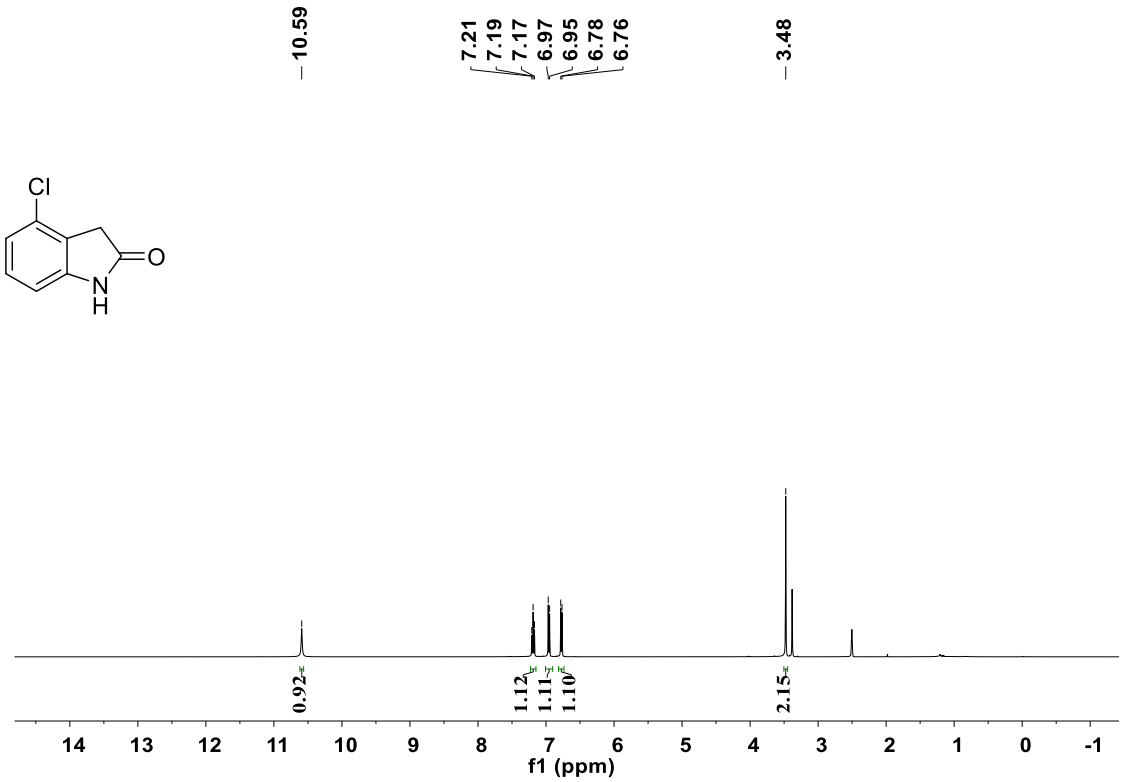
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3i**



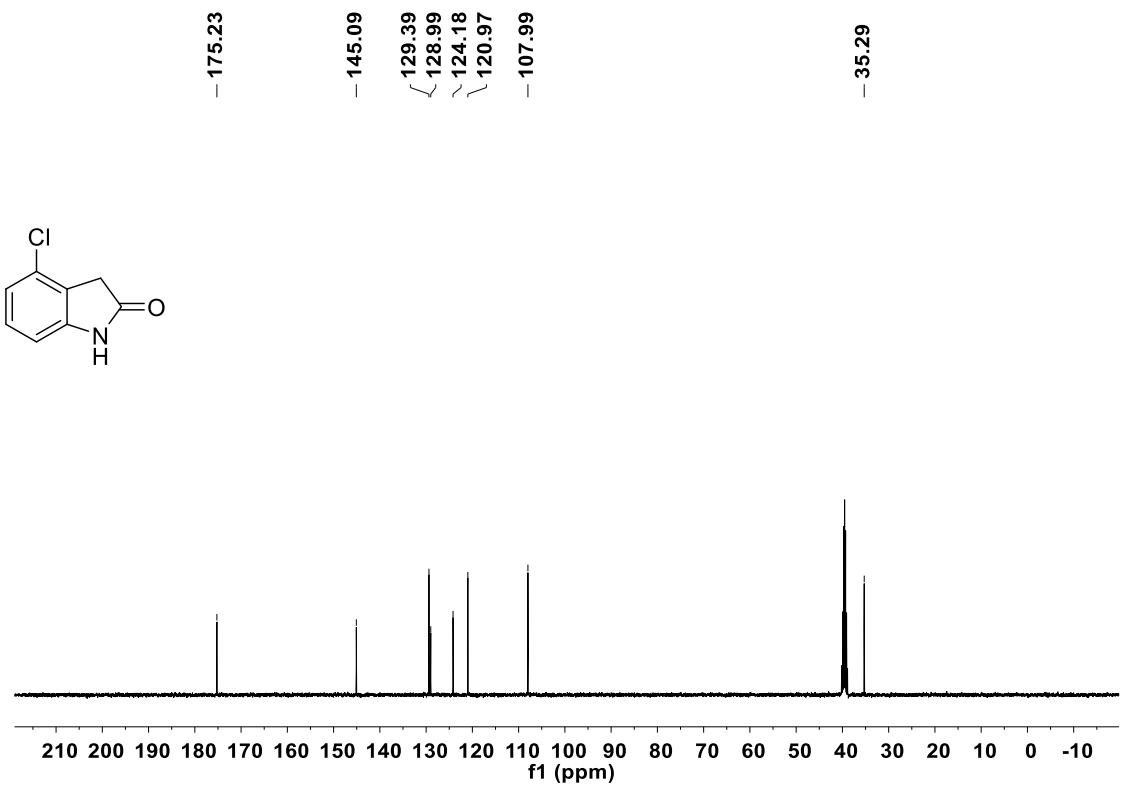
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3j**



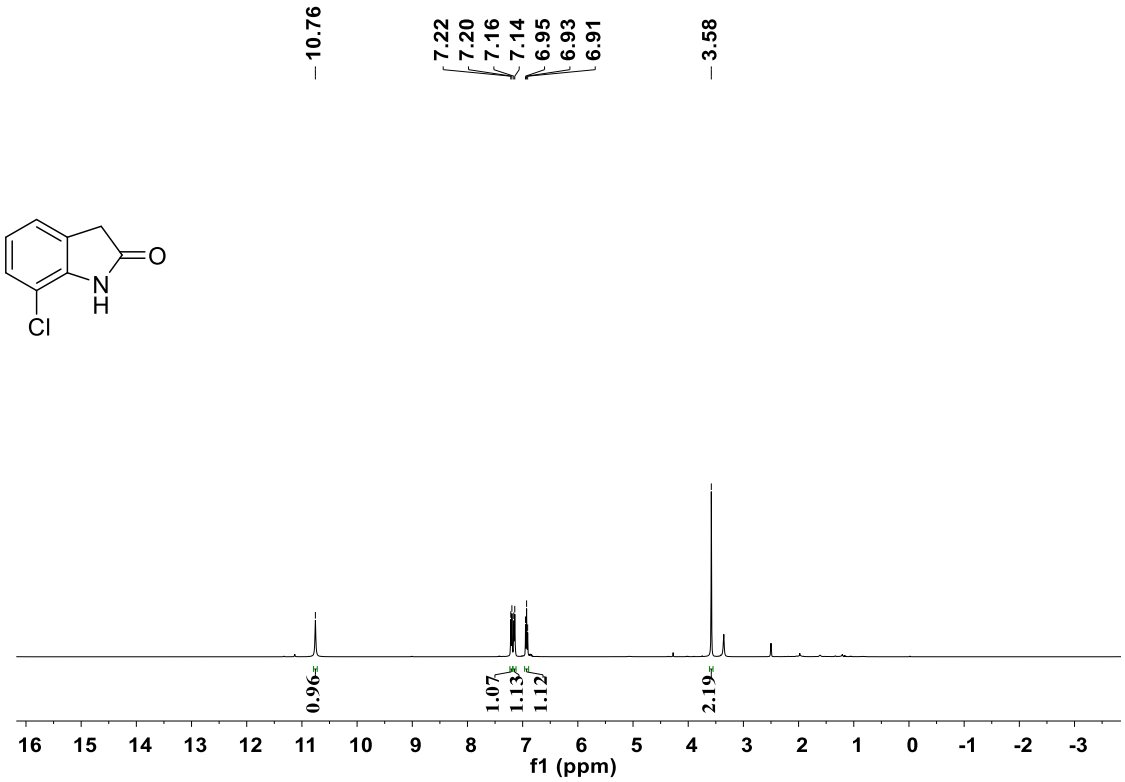
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3j**



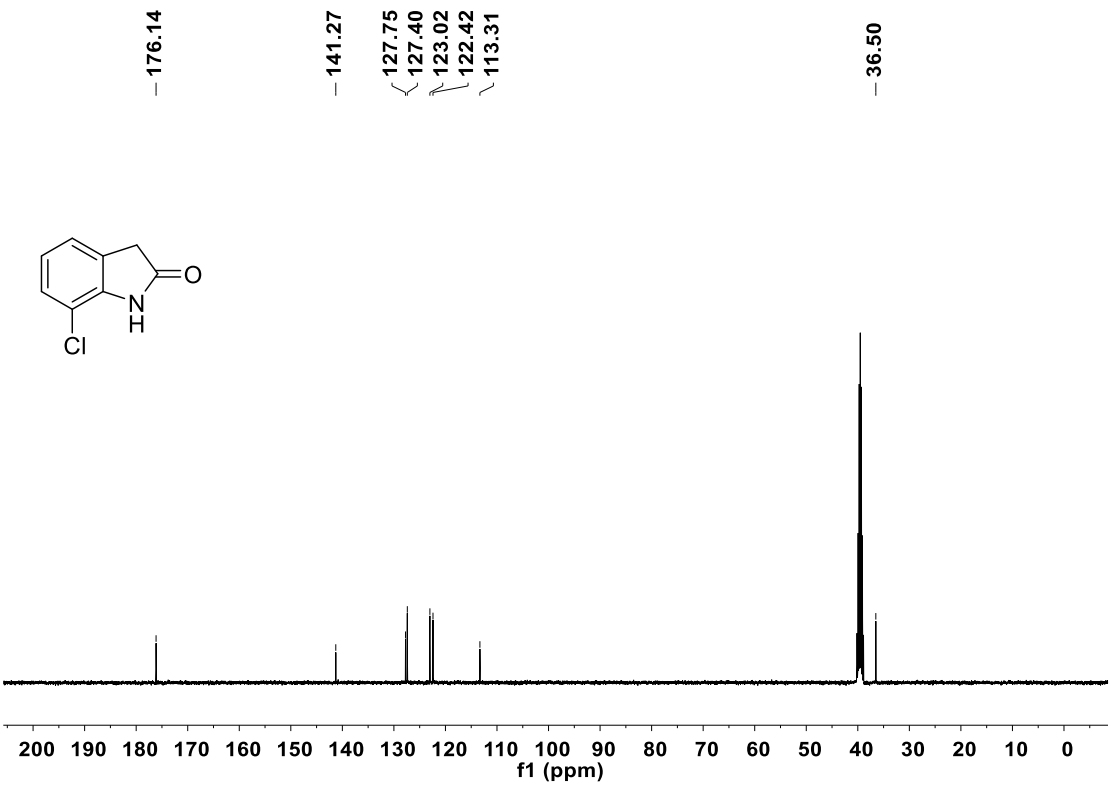
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3k**



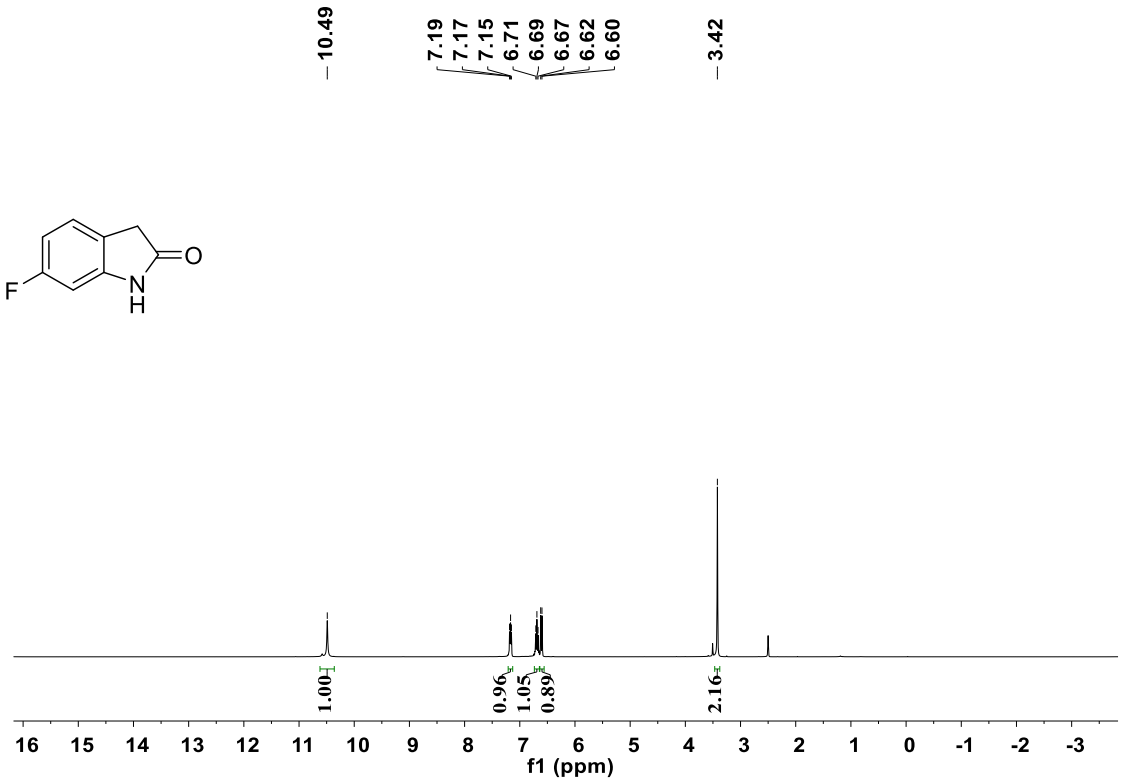
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3k**



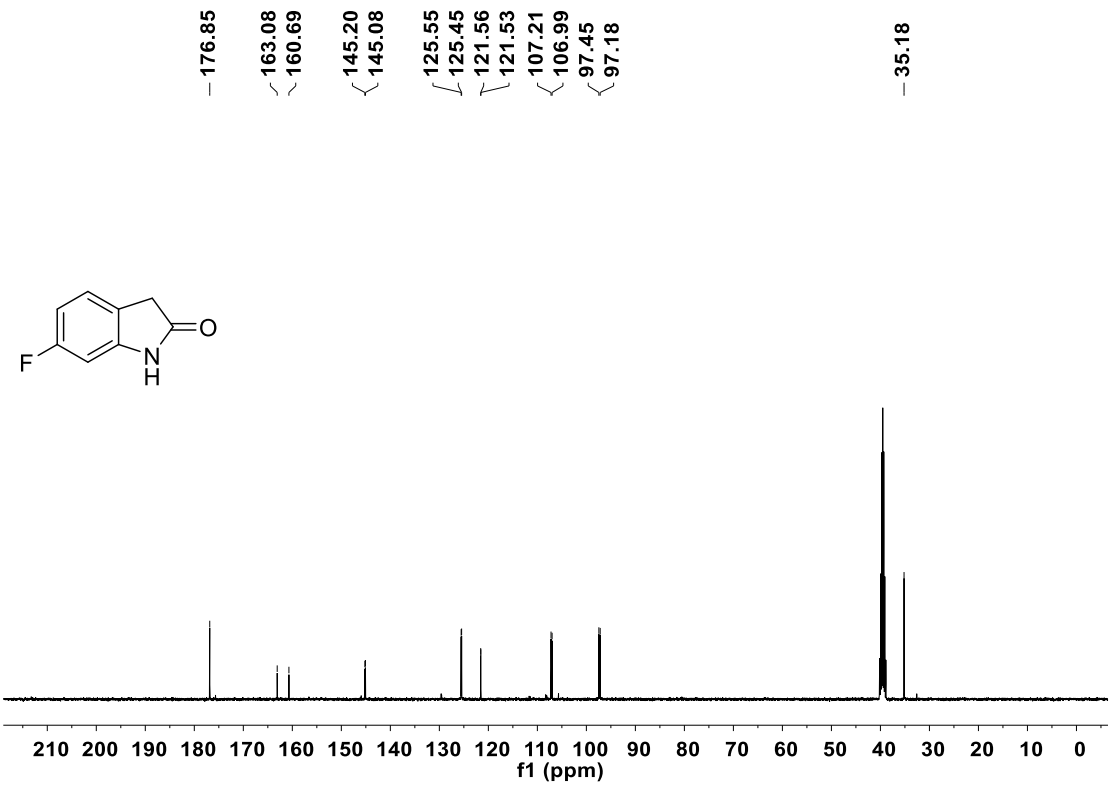
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3l**



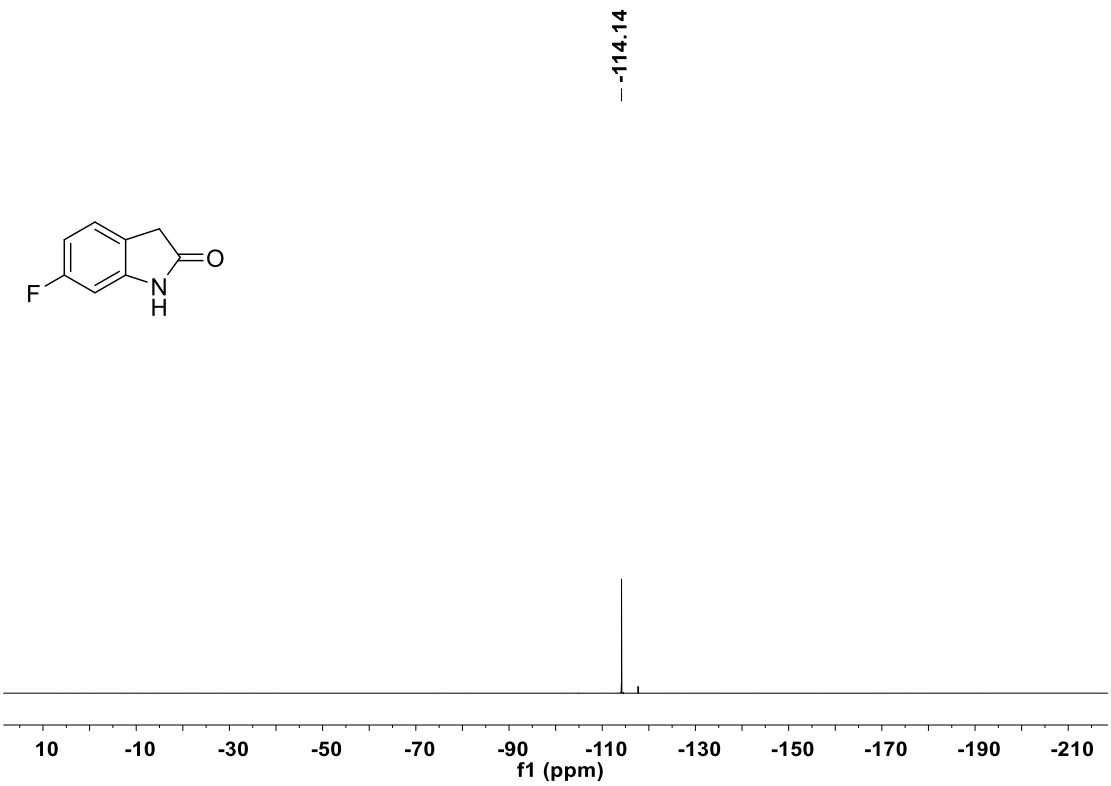
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3l**



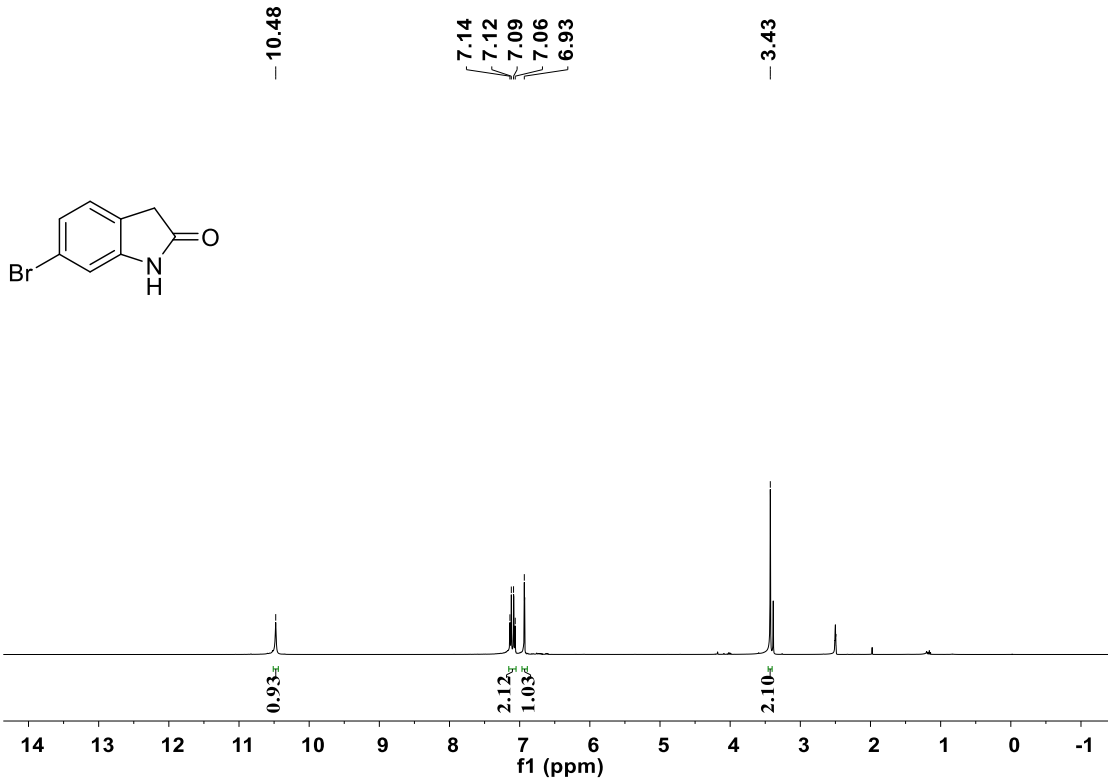
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3m**



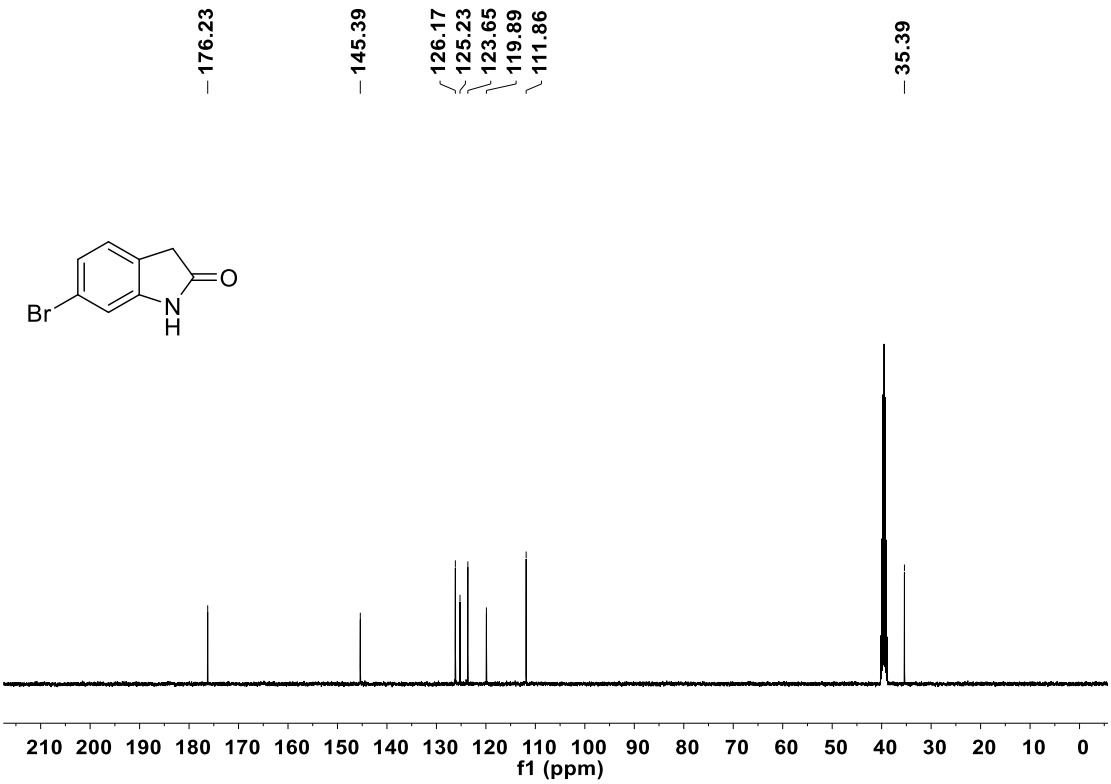
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3m**



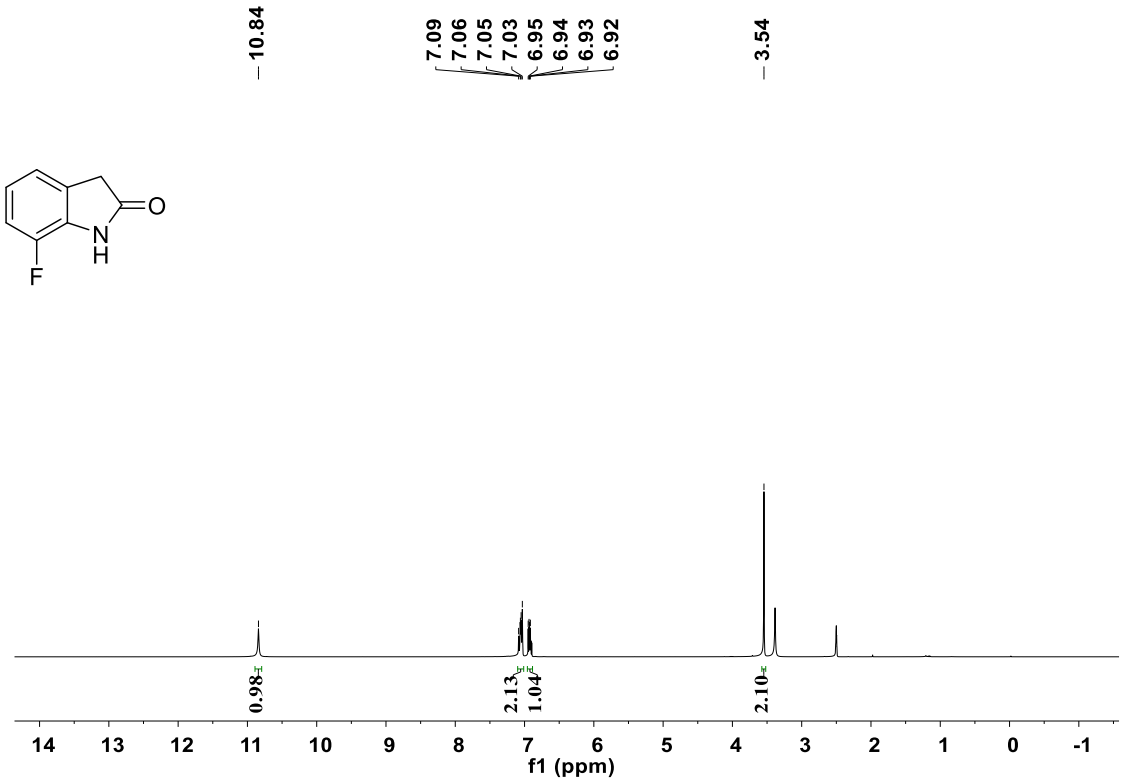
^{19}F NMR spectrum (377 MHz, DMSO-d_6) of **3m**



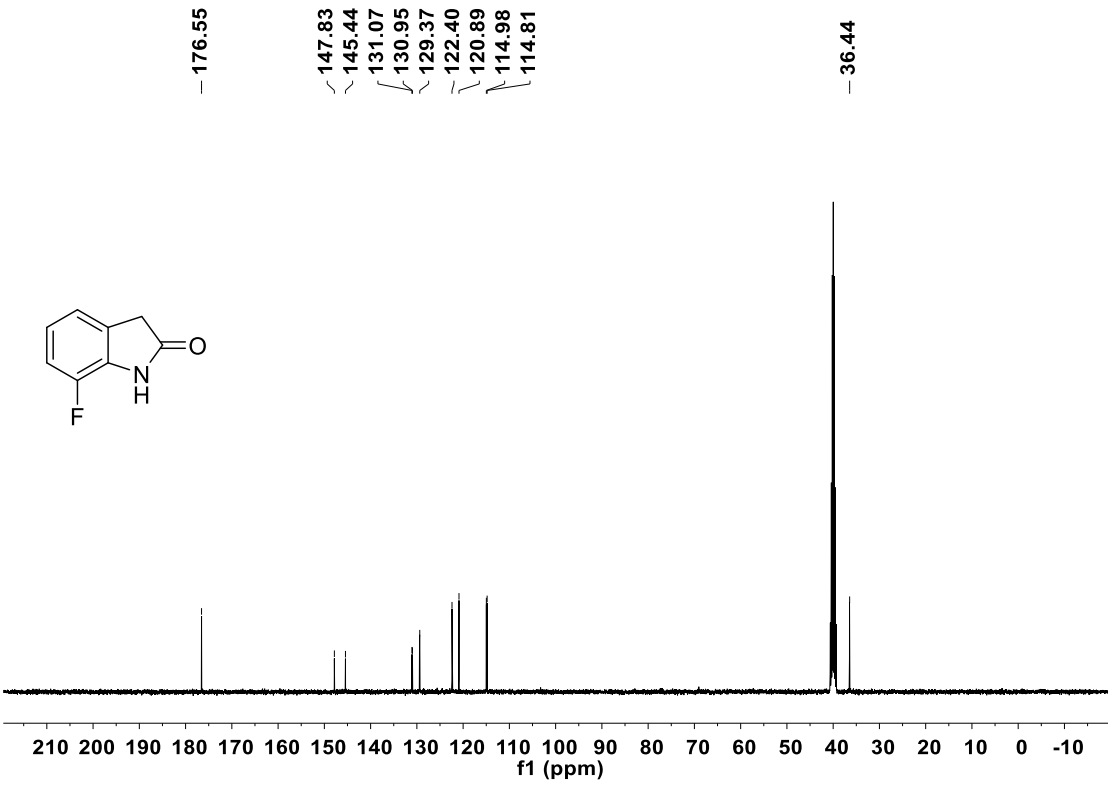
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3n**



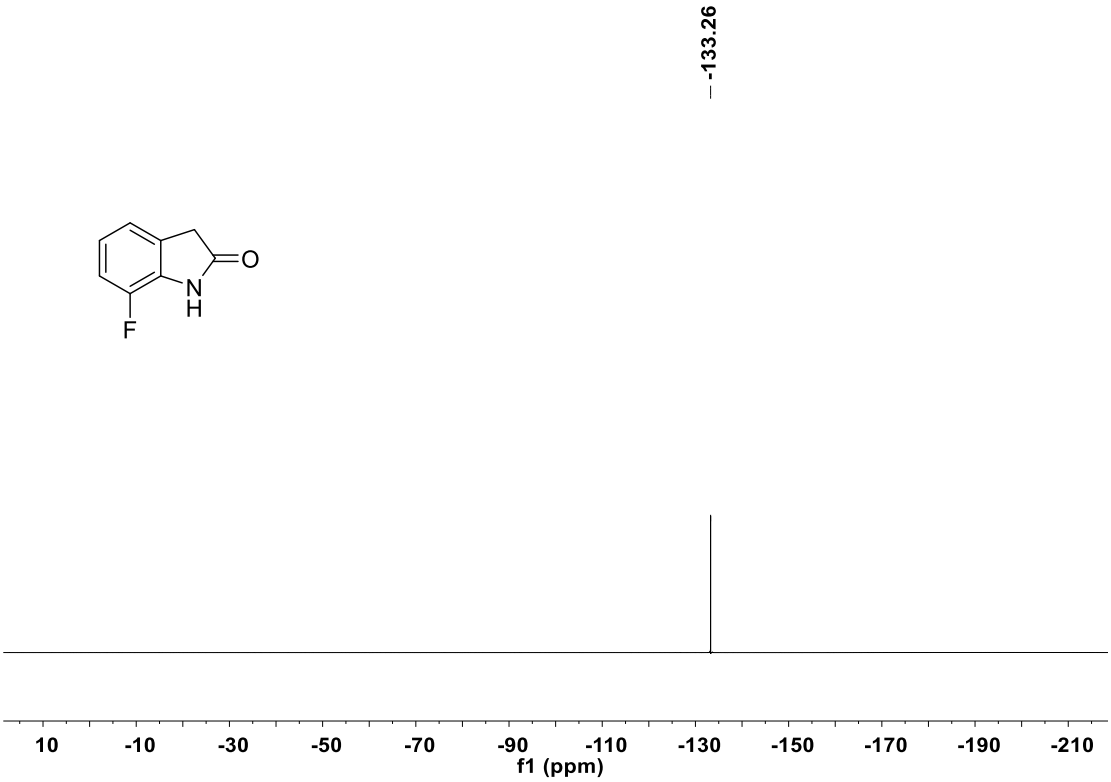
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3n**



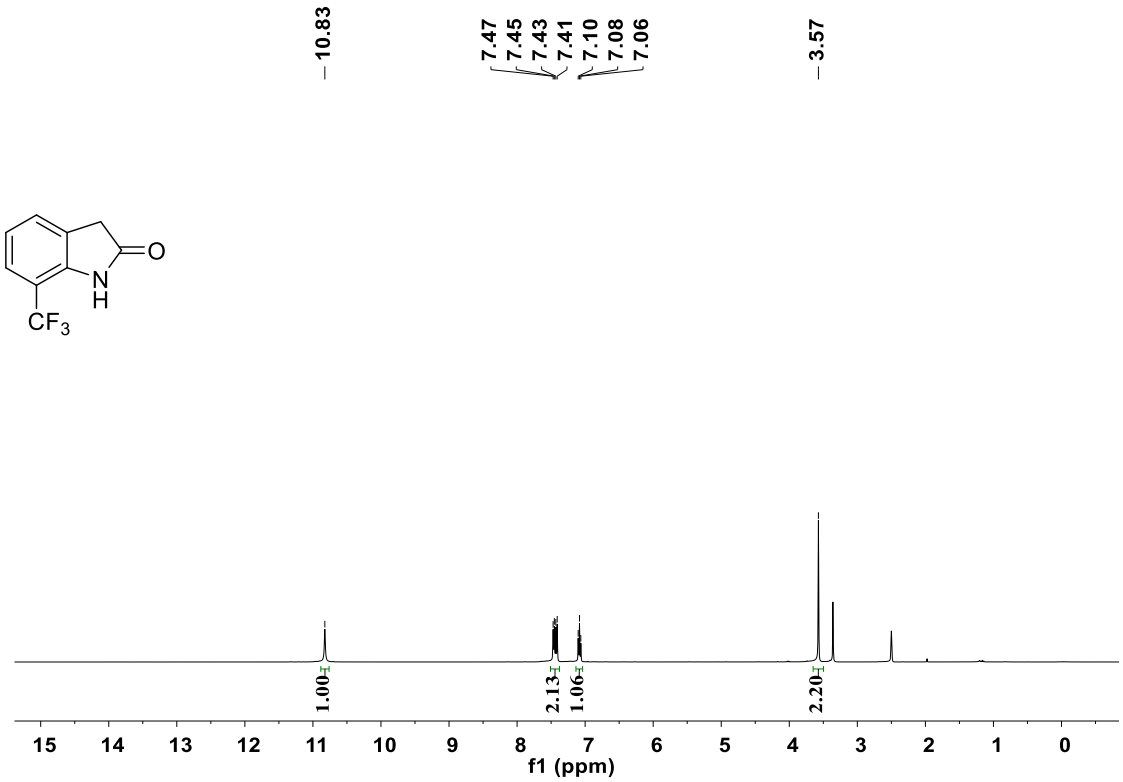
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3o**



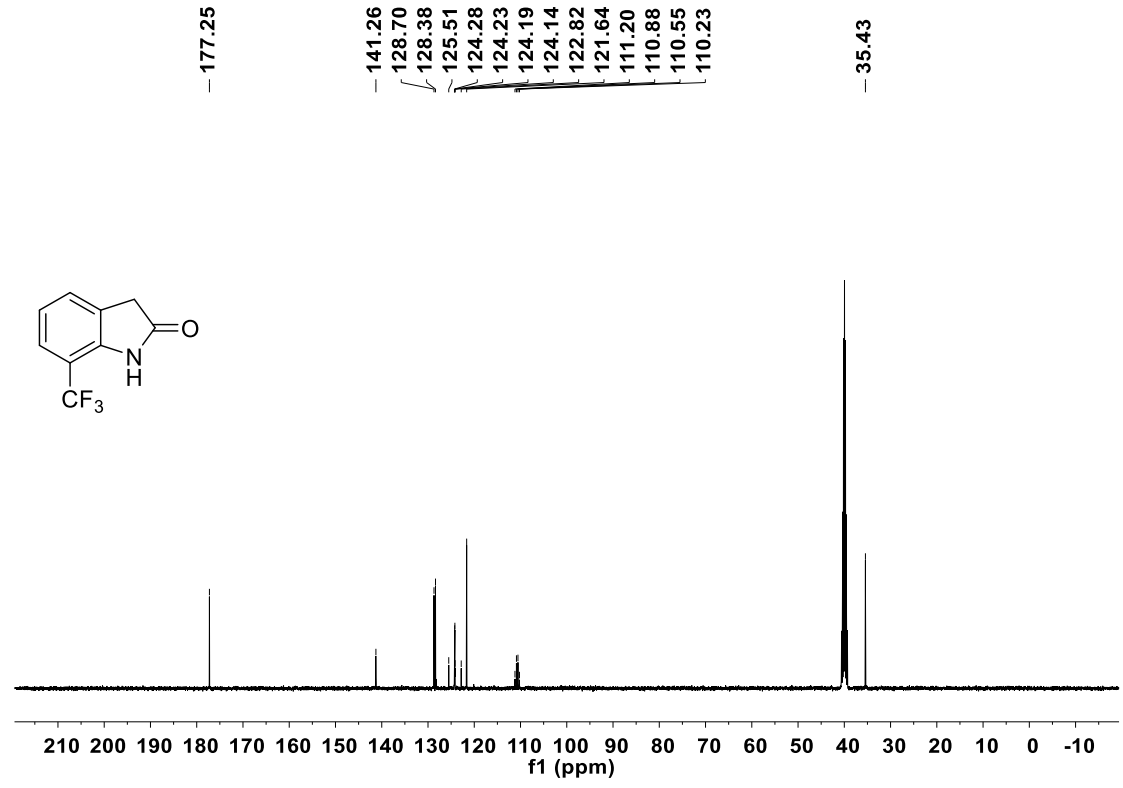
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3o**



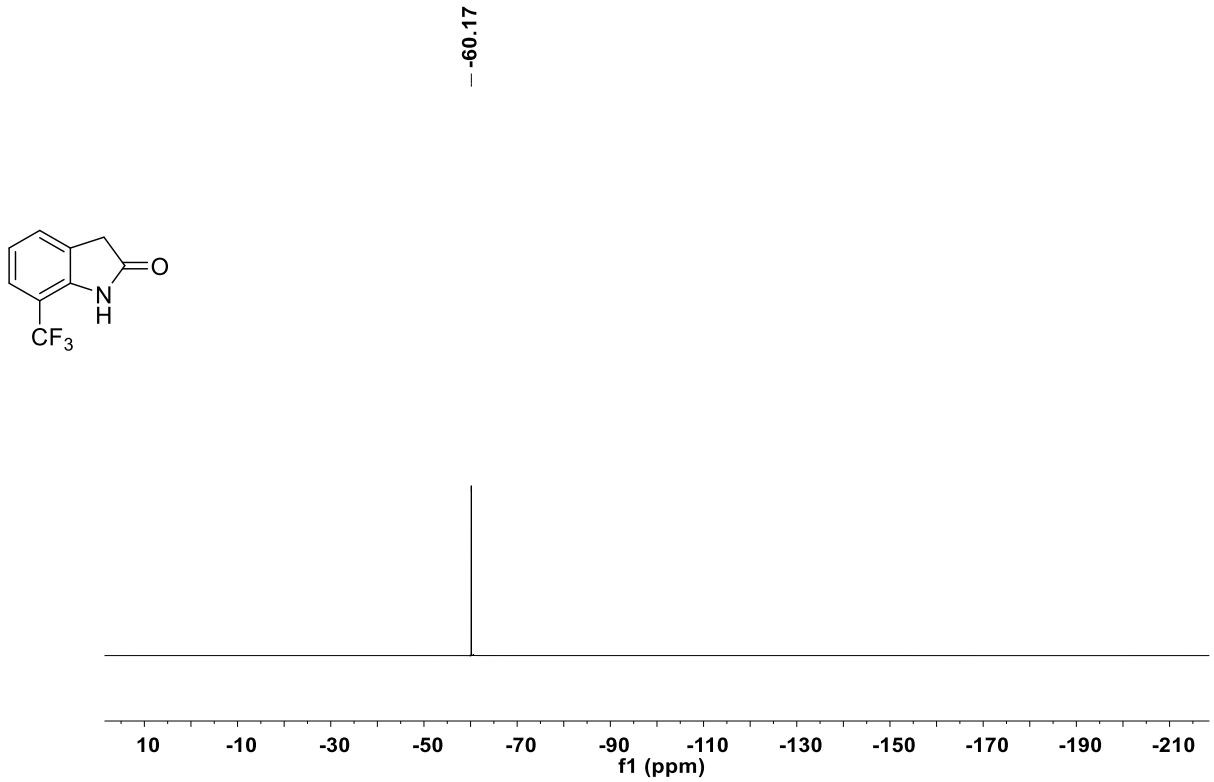
^{19}F NMR spectrum (377 MHz, DMSO-d_6) of **3o**



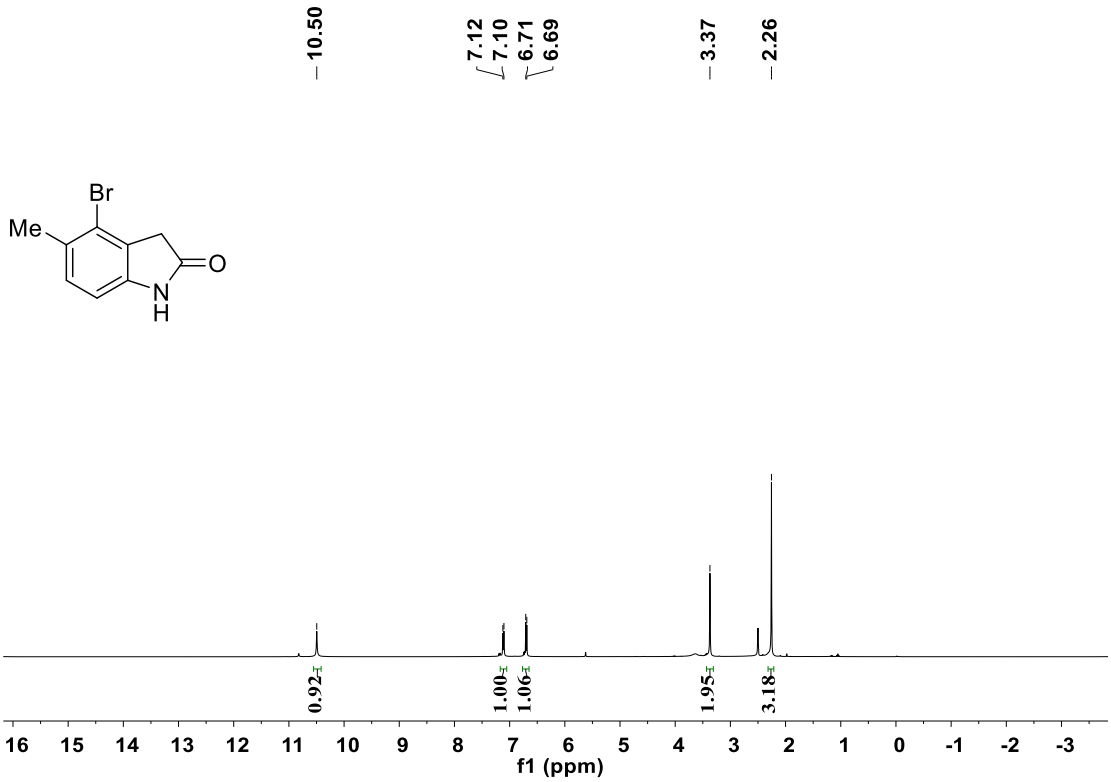
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3p**



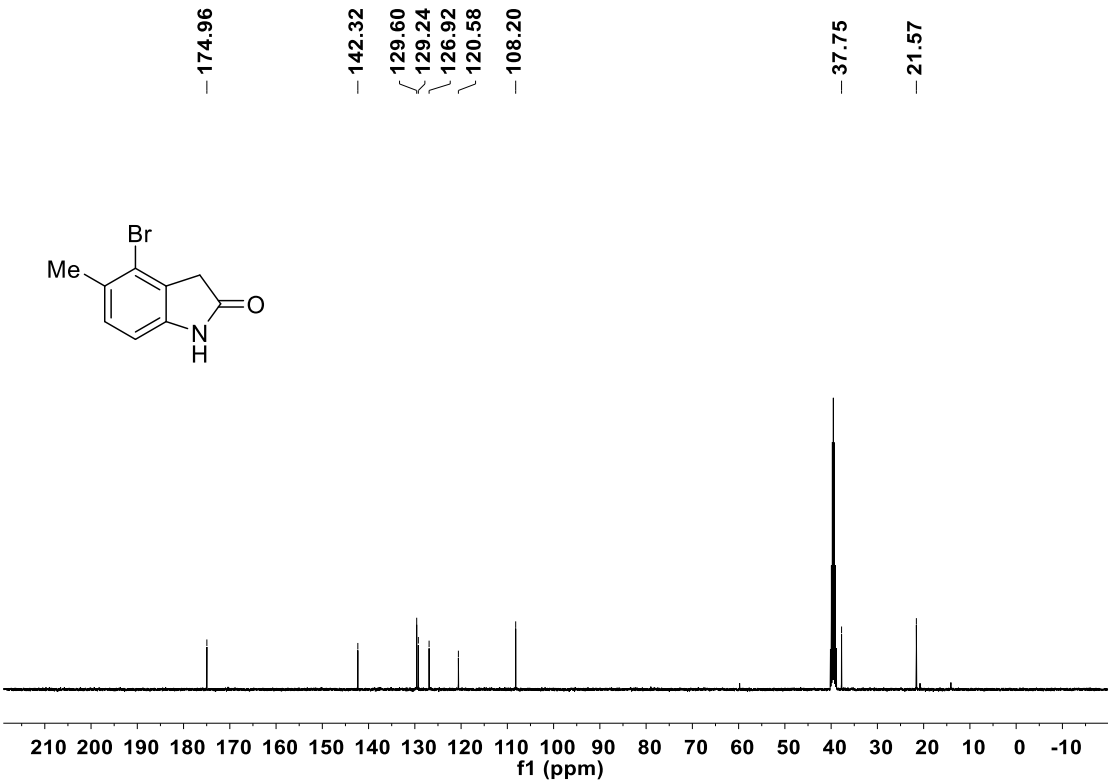
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3p**



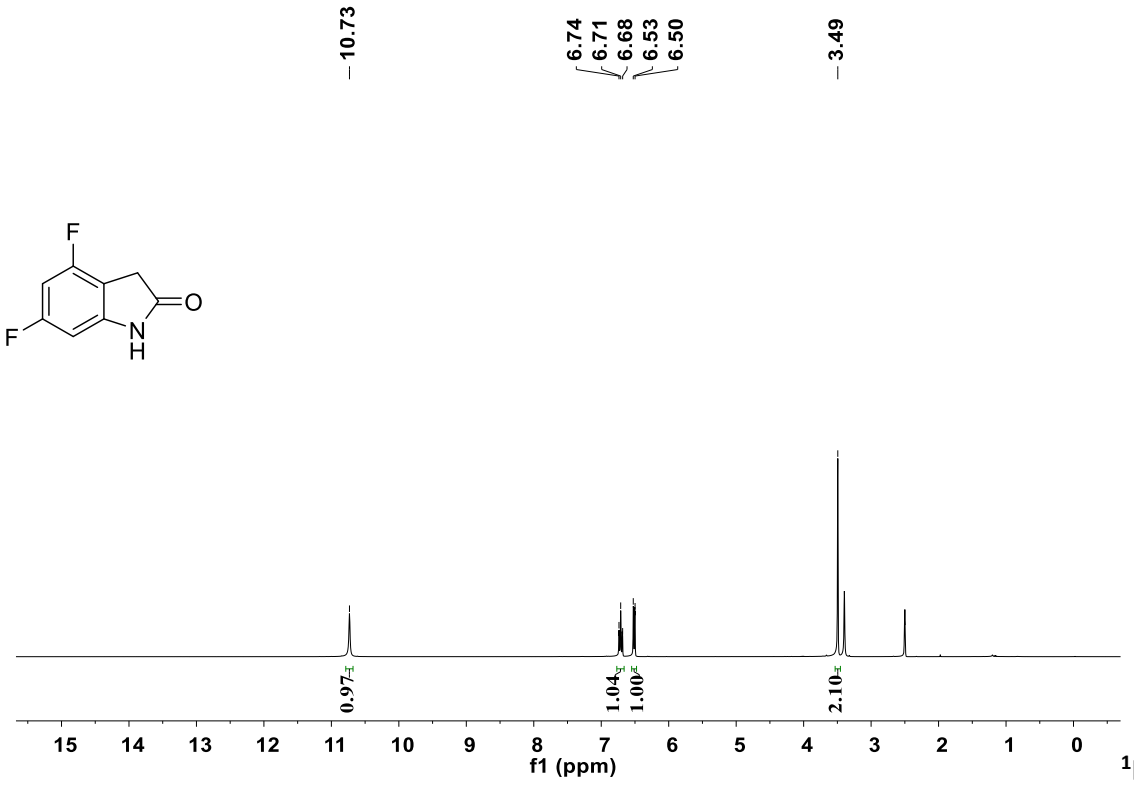
^{19}F NMR spectrum (377 MHz, DMSO-d_6) of **3p**



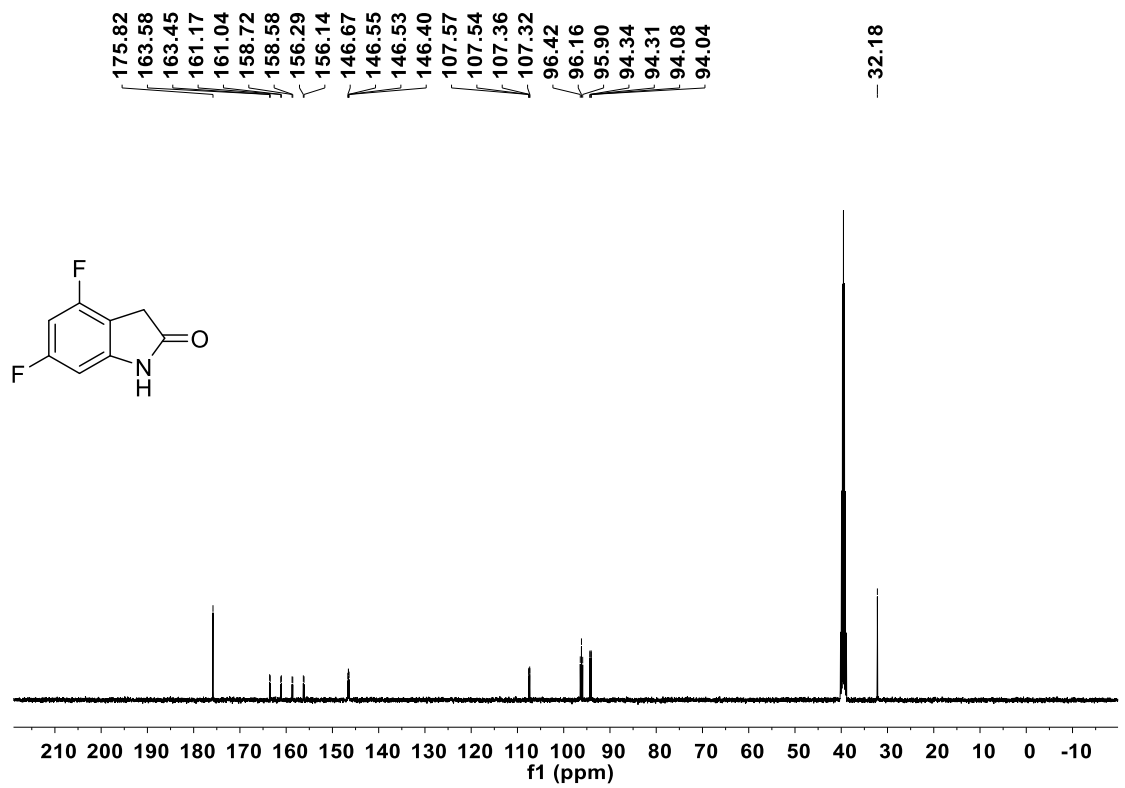
^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3q**



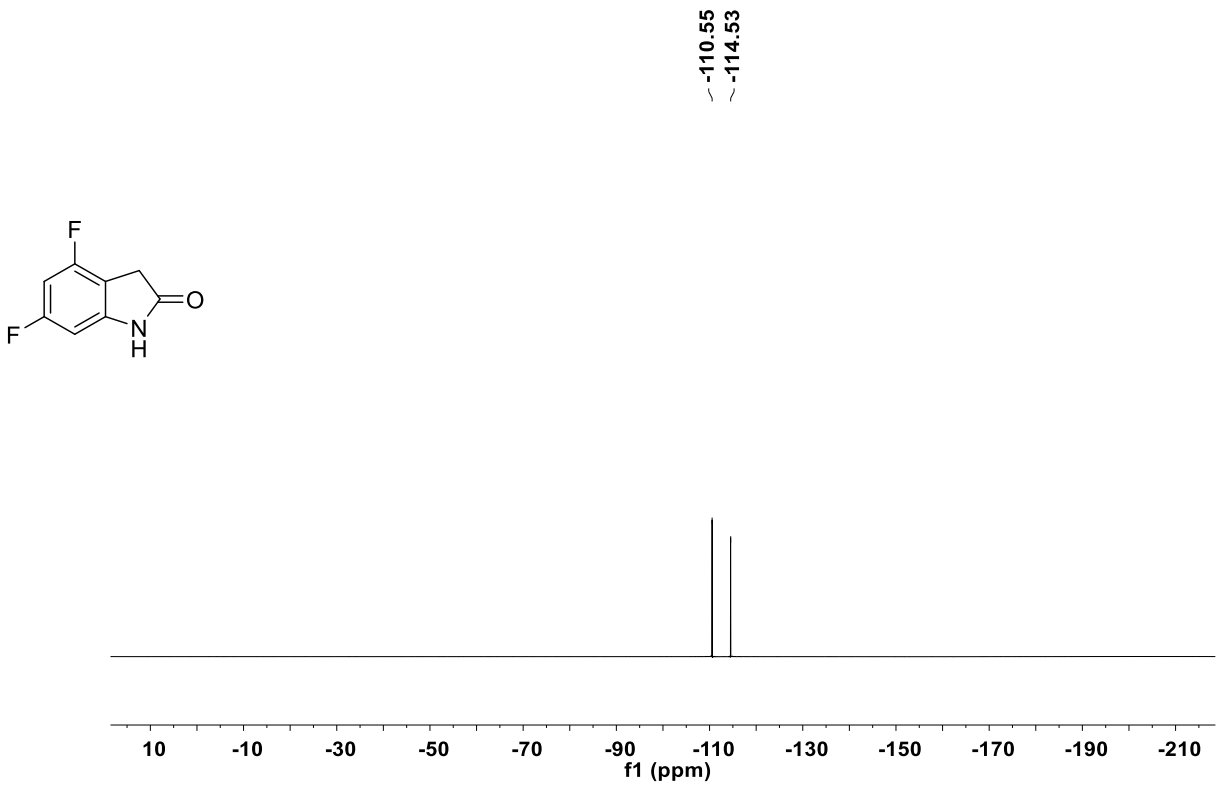
^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3q**



^{1}H NMR spectrum (400 MHz, DMSO-d_6) of **3r**



^{13}C NMR spectrum (100 MHz, DMSO-d_6) of **3r**



^{19}F NMR spectrum (377 MHz, DMSO-d_6) of **3r**

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