SUPPORTING INFORMATION

Efficient Accessibility of Indole and Pyrrole Nuclei via Late-Stage Aryl C-H Activation of Drug Molecules Promoted by Thianthrenium Salts

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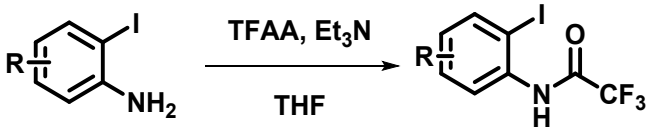
1. General comments

Unless otherwise specified, all reagents and starting materials were purchased from commercial sources and used as received without purification. The solvents were purified and dried using standard procedures. The chromatography solvents were technical grade and distilled prior to use. Flash chromatography was performed using 200-300 mesh silica gel with the indicated solvent system according to standard techniques. Analytical thin-layer chromatography (TLC) was performed on pre-coated, glass-backed silica gel plates. Visualization of the developed chromatogram was performed by UV absorbance (254 nm and 365nm). The ^{1}H and ^{13}C NMR spectra were recorded on Bruker 500 MHz/400 MHz and 125 MHz NMR/100 MHz spectrometers, and the ^{19}F NMR spectra were recorded on Bruker 471 MHz/376 MHz spectrometers, unless otherwise specified. Chemical shifts (δ) in parts per million were reported relative to the residual signals of chloroform (7.26 ppm for ^{1}H and 77.16 ppm for ^{13}C), DMSO(3.50 ppm for ^{1}H and 39.50 ppm for ^{13}C), and all ^{13}C NMR were recorded with proton broadband decoupling and indicated as $^{13}C\{^{1}H\}$ NMR. Multiplicities are described as s (singlet), d (doublet), t (triplet), q (quartet), dd (doublet of doublets) or m (multiplet), and the coupling constants (*J*) are reported in Hertz (Hz). HRMS analysis with a quadrupole time-of-flight (TOF) mass spectrometer yielded ion mass/charge (*m/z*) ratios in atomic mass units.

2. General procedure for the synthesis of 2,2,2-Trifluoro-N-(2-iodo-phenyl)-acetamide.

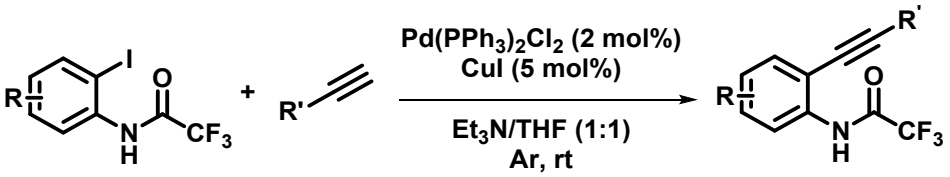
Procedure A



To a mixture of 2-iodoaniline (1 equiv.) and triethylamine (1.5 equiv.) in anhydrous THF being cooled to 0 °C was added dropwise trifluoroacetic anhydride (1.5 equiv.). The mixture was stirred at 0 °C for 1 h and then kept at ambient temperature overnight. Then water was added, and the aqueous solution was extracted with ethyl ether. The combined organic layer was dried over anhydrous Na_2SO_4, concentrated in vacuo, and purified by flash chromatography on silica gel using petroleum ether and ethyl acetate (v/v = 20:1) as eluent to give out the product.

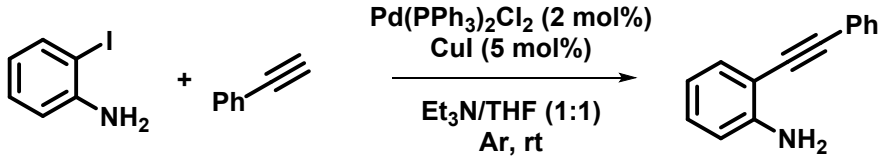
3. General procedure for the synthesis of o-alkynylanilines

Procedure B



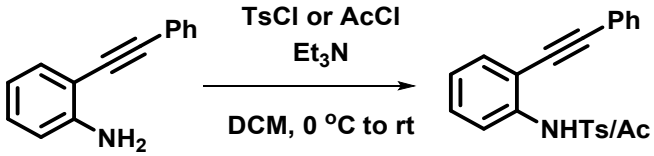
To a stirred solution of 2,2,2-Trifluoro-N-(2-iodo-phenyl)-acetamide (1 equiv.), $Pd(PPh_3)_2Cl_2$ (0.02 equiv.), and CuI (0.05 equiv.) at room temperature in degassed Et_3N/THF (v/v = 1:1) was added the corresponding alkyne (1.2 equiv.) under argon atmosphere. The resulting reaction mixture was stirred at room temperature until TLC indicated complete consumption (ca. 2-24 h) of the starting material. Subsequent filtration through a pad of Celite rinsing with EA, followed by purification of the remaining crude material via flash chromatography (petroleum ether: ethyl acetate (v/v = 20:1)) afforded the corresponding pure products.

Procedure C



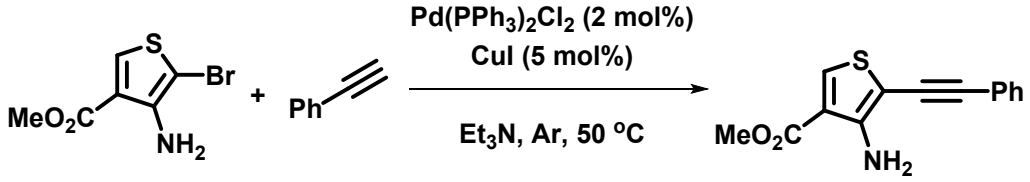
To a stirred solution of 2-alkynylanilines (1 equiv.), $Pd(PPh_3)_2Cl_2$ (0.02 equiv.), and CuI (0.05 equiv.) at room temperature in degassed Et_3N/THF (v/v = 1:1) was added the ethynylbenzene (1.2 equiv..) under argon atmosphere. The resulting reaction mixture was stirred at room temperature overnight. Subsequent filtration through a pad of Celite rinsing with EA, followed by purification of the remaining crude material via flash chromatography ((petroleum ether: ethyl acetate (v/v = 20:1)) affording the corresponding pure products.

Procedure D

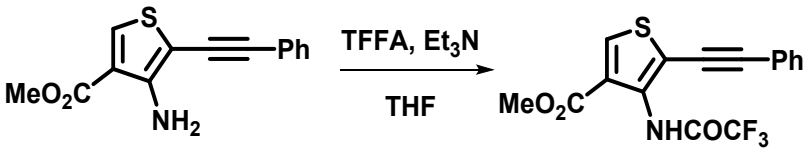


2-(Phenylethynyl)aniline (1.0 equiv.) was dissolved in DCM (5.0 mL) and cooled to 0 °C. The solution was then added with Et_3N (1.2 equiv.), followed by acetyl chloride (1.6 equiv.) or 4-toluenesulfonylchloride (1.2 equiv.). The resulting reaction mixture was allowed to stir at 0 °C while slowly warming to room temperature over 5 h, at which point the reaction was complete as indicated by TLC. The reaction mixture was quenched by addition of water. The separated aqueous phase was extracted with DCM (3 times). The combined organic phases were washed with sat. aq. NaCl, dried over anhydrous Na_2SO_4, filtered and concentrated to a crude solid. The crude solid product was purified by silica gel column chromatography with petroleum and ethyl acetate as eluent ((petroleum ether: ethyl acetate (v/v = 8:1)) to afford the corresponding pure products.

Procedure E

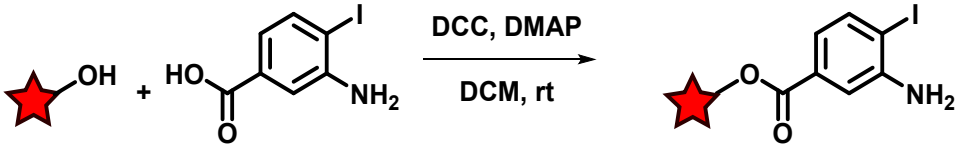


To a stirred solution of methyl 4-amino-5-bromothiophene-3-carboxylate (1 equiv.), $Pd(PPh_3)_2Cl_2$ (0.02 equiv.), and CuI (0.05 equiv.) in degassed Et_3N was added the ethynylbenzene (1.3 equiv.) under argon atmosphere at 50 °C. The resulting reaction mixture was stirred at room temperature overnight. Subsequent filtration through a pad of Celite rinsing with EA, followed by purification of the remaining crude material via flash chromatography ((petroleum ether: ethyl acetate (v/v = 20:1)) affording the corresponding pure products.

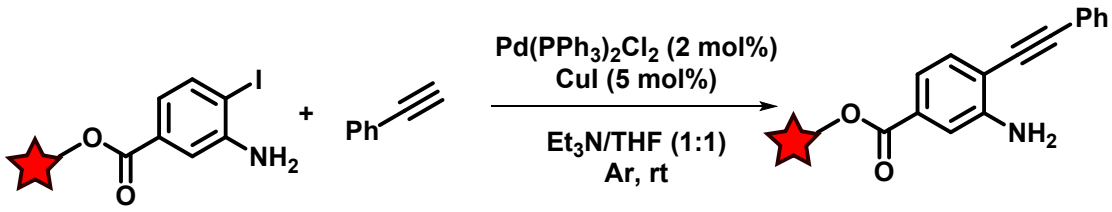


Refer to general procedure A.

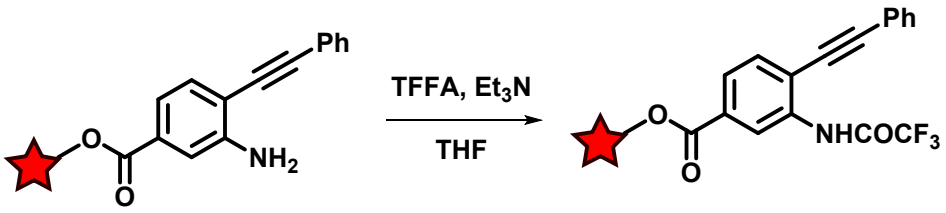
Procedure F



Under nitrogen protection, bioactive molecules (1.2 mmol), 3-amino-4-iodobenzoic acid (1.0 mmol), DCC (1.5 mmol, 1.5 equiv.) and DMAP (0.2 mmol, 0.2 equiv.) were dissolved in anhydrous DCM (10 mL). The reaction was stirred at room temperature overnight, quenched the reaction with salt solution, extracted twice with 1M HCl (50 ml) and DCM, and then the organic phase was dried by anhydrous Na_2SO_4, the solvent was then removed under vacuum distillation to give out a crude product. Purification by silica gel column chromatography with petroleum and ethyl acetate as eluent (petroleum ether: ethyl acetate(v/v = 6:1 – 3:1)) to afford the corresponding pure products.



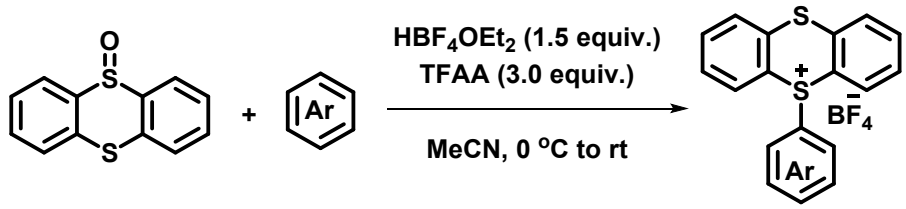
Refer to Procedure C



Refer to General procedure A

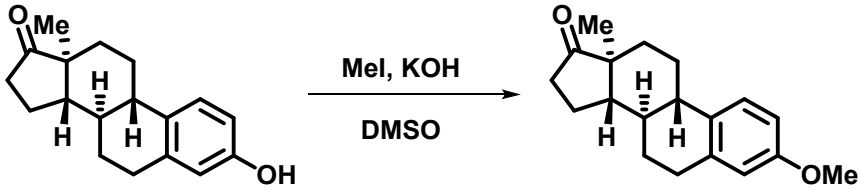
4. General procedure for the synthesis of aryl thianthrenium salts.

4.1 General procedure for the synthesis of thianthrenation of arenes



Under an ambient atmosphere, a 50 mL glass vial was charged with arene (1 mmol, 1.0 equiv.), (tetrafluoro)thianthrenium-S-oxide (1 mmol, 1.0 equiv.), and dry MeCN. After cooling to 0 °C, $HBF_4{\cdot}OEt_2$ (1.5 mmol, 1.5 equiv.) was added to the vial while stirring the reaction mixture. Subsequently, trifluoroacetic anhydride (3 mmol, 3.0 equiv.) was added in one portion at 0 °C, resulting in a color change to deep purple. The vial was sealed with a screw-cap. The mixture was stirred at 0 °C for 1 h and then at 25 °C until the intensity of the purple color decreased. The solution was concentrated and the residue was diluted with 5 mL dichloromethane and poured into a mixture of 30 mL dichloromethane, 20 mL saturated aqueous Na_2CO_3 or $NaHCO_3$ solution, and 10 mL water. After stirring for 5 min at 25 °C, the mixture was poured into a separatory funnel, and the layers were separated. The dichloromethane layer was washed with aqueous $NaBF_4$ solution (2 × ca. 20 mL, 5 % w/w) and with water (2 × ca. 20 mL). The dichloromethane layer was dried over $MgSO_4$, filtered, and the solvent was removed under reduced pressure. In order to obtain pure samples of thiantrhenium salts, the residue was purified by chromatography on silica gel eluting with DCM/*i*-PrOH, subsequently, the product was dissolved in 2 mL DCM and precipitated with 20 mL Et_2O. The solid was dried in vacuo to afford the thianthrenium salt.

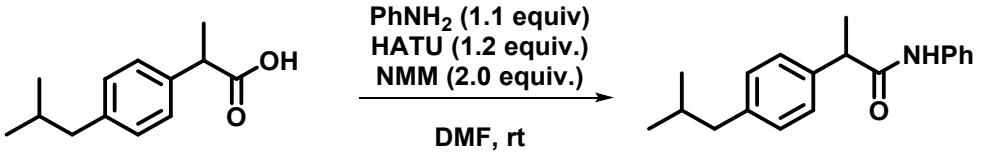
4.2 Procedure for the synthesis of Estrone *O*-methyl ether



A 50 mL round-bottom flask containing a stirring bar was charged with KOH (12 mmol), and DMF (10 mL). Estrone (3.0 mmol) and MeI (6 mmol) were added at

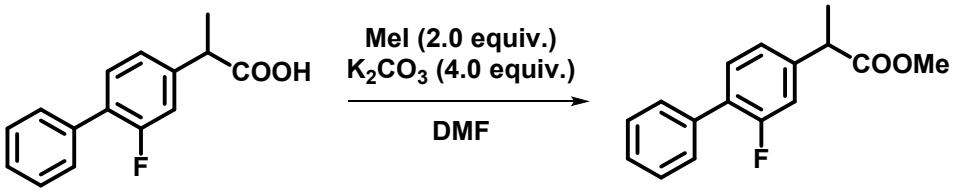
room temperature. The mixture was stirred at room temperature overnight and a white solid was formed. Then the solid was filtered and washed with water to afford Estrone *O*-methyl ether as white solid.

4.3 Procedure for the synthesis of 2-(4-isobutylphenyl)-*N*-phenylpropanamider



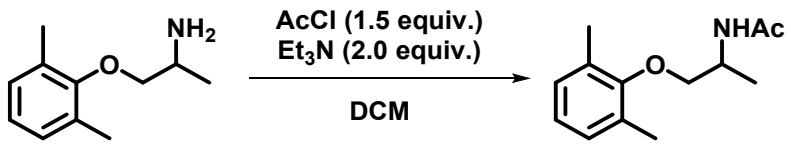
To 50 mL round-bottom flask was charged with ibuprofen (5 mmol, 1.0 equiv.), aniline (5.5 mmol, 1.1 equiv.), HATU (6 mmol, 1.2 equiv.), NMM (10mmol, 2.0 equiv.), in DMF. Then, the mixture was stirred at rt for 24h. After that, the mixture was diluted with ethyl acetate, washed by water and brine, dried with anhydrous sodium sulfate, and concentrated to give out the residues. Subsequently, the crude product was separated by column chromatography on silica gel (elution solvent: EtOAc/petroleum ether = 3:1) to afford the amidated compounds.

4.4 Procedure for the synthesis of methyl 2-(2-fluoro-[1,1'-biphenyl]-4-yl)propanoate



A mixture of 2-(2-fluoro-[1,1'-biphenyl]-4-yl)propanoic acid (flurbiprofen,3.0 mmol) and K_2CO_3 (12 mmol) in 10 mL DMF was added CH_3I (6.0 mmol) at room temperature and the reaction was stirred overnight. Then the reaction was diluted with 10 mL EA and washed with sat. brine (40 mL × 3). The organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residual mixture was purified by silica gel chromatography with PE/EA (30:1) as eluting solvent to afford the flurbiprofen methyl ether as colorless solid. The same method was used for other methyl carboxylates **23a, 24a, 25a**.

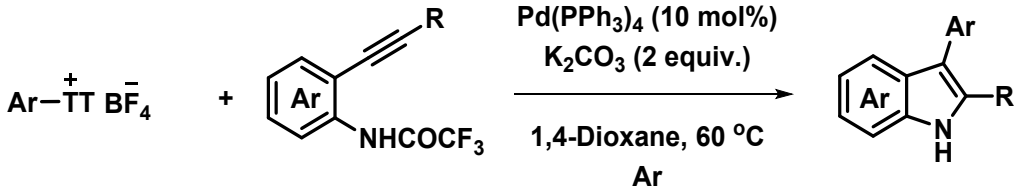
4.5 Procedure for the synthesis of *N*-(1-(2,6-dimethylphenoxy)propan-2-yl)acetamide



To a mixture of 1-(2,6-dimethylphenoxy)propan-2-amine (2.00 mmol) and triethylamine (4.00 mmol) in CH_2Cl_2 (50 mL) was added acetyl chloride (3.00 mmol). The mixture was stirred ar RT for 16 h. The crude product was concentrated and purified by column chromatography (PE/EA (1:1)) to yield the desired product as a white powder.

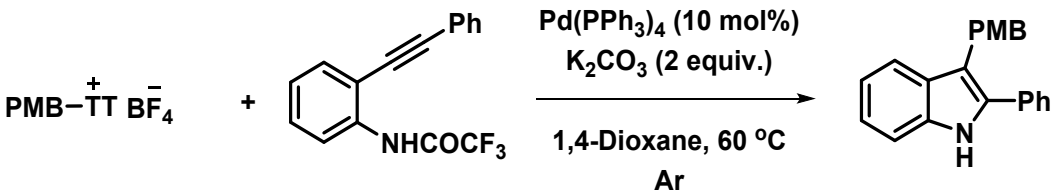
5. General Procedure for palladium catalyzed annulation with o-alkynylanilines and aryl thianthrenium salts to introduce indole and pyrrole.

Procedure G



An oven-dried 10 mL schlenk tube with a magnetic stir bar was charged with *o*-alkynylanilines (28.9 mg, 0.1 mmol, 1.0 equiv.), aryl thianthrenium salt (61.5 mg, 0.15 mmol, 1.5 equiv.), $Pd(PPh_3)_4$ (11.5mg, 10 mol %) and K_2CO_3 (27.6 mg, 2.0 equiv.). The schlenk tube was evacuated and backfilled with argon for three to five times. Then, anhydrous 1,4-Dioxane (1.0 mL) was added under argon flow, and the resulting mixture was stirred at 60 °C for 24 h. Upon completion, it was cooled to room temperature, filtered through a pad of celite and concentrated under reduced pressure. The residue was purified by silica gel column chromatography using petroleum and ethyl acetate (PE: EA = 20:1-10:1) as eluent to afford indole product. Other products were obtained in a similar manner.

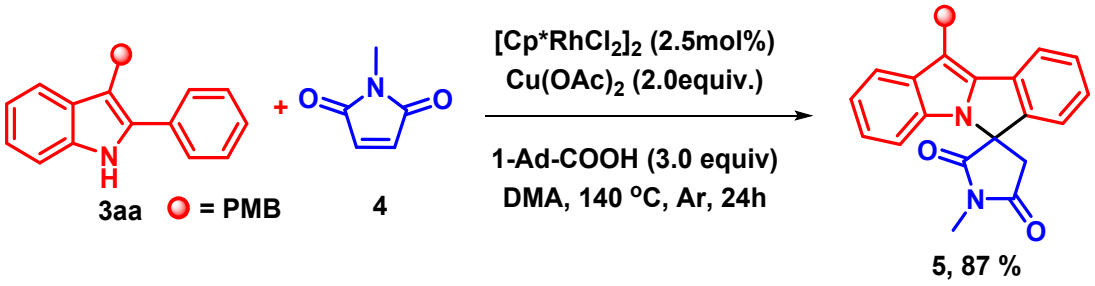
6. Procedure for large-scale synthesis



An oven-dried 25 mL schlenk tube with a magnetic stir bar was charged with *o*-alkynylanilines (578 mg, 2 mmol, 1.0 equiv.), aryl thianthrenium salt (1.23 g, 3 mmol, 1.5 equiv.), $Pd(PPh_3)_4$ (231 mg, 10 mol %) and K_2CO_3 (552 mg, 2.0 equiv..). The schlenk tube was evacuated and backfilled with argon for three to five times. Then, anhydrous 1,4-Dioxane (10 mL) was added under argon flow, and the resulting mixture was stirred at 60 °C for 24 h. Upon completion, it was cooled to room temperature, filtered through a pad of celite and concentrated under reduced pressure. The residue was purified by silica gel column chromatography using petroleum and ethyl acetate (PE: EA = 20:1) as eluent to afford indole product in 83% yield.

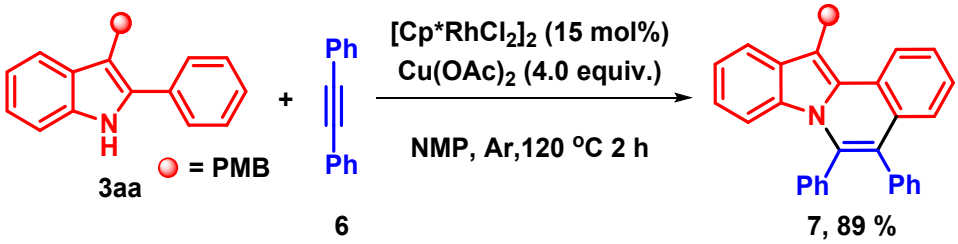
7. Procedure for Derivatization experiments

7.1 Procedure for the synthesis of product 5.



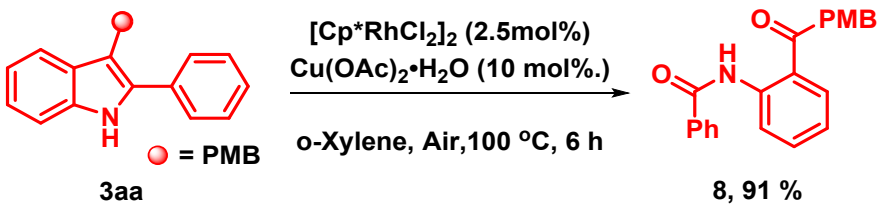
A 10 ml schlenk tube tube equipped with a magnetic stir bar was charged with 3-(4-methoxybenzyl)-2-phenyl-1*H*-indole (29.9 mg, 0.1 mmol) and N-Methylmaleimide (22.2mg, 0.2 mmol), $[Cp^*RhCl_2]_2$ (1.5 mg, 2.5 mol %),$Cu(OAc)_2$ (39.8 mg, 0.2 mmol), ADA (57 mg, 0.3 mmol), and DMA (1 mL). The schlenk tube was evacuated and backfilled with argon for three times, then the reaction vial was capped and stirred at 140 °C in anoil bath for 24 h. After completion of the reaction, the reactionmixture was cooled to room temperature, diluted with EtOAc, andwashed with chilled water (two to three times). The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel with EtOAc/ petroleum (3 :1) eluent to afford the desired products **5**.

7.2 Procedure for the synthesis of product 7.



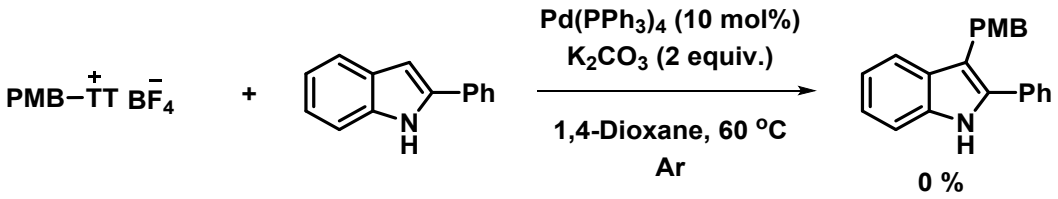
A 10 ml schlenk tube tube equipped with a magnetic stir bar was charged with 3-(4-methoxybenzyl)-2-phenyl-1*H*-indole (29.9 mg, 0.1 mmol) and Diphenylacetylene (21.4 mg, 0.12 mmol), $[Cp^*RhCl_2]_2$ (9.2 mg, 15 mol %), $Cu(OAc)_2$ (79.6 mg, 0.4 mmol), and NMP (1 mL). The schlenk tube was evacuated and backfilled with argon for three times, then the reaction vial was capped and stirred at 120 °C in an oil bath for 2 h. After completion of the reaction, the reaction mixture was cooled to room temperature, diluted with EtOAc, and washed with chilled water (two to three times). The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel with EtOAc/ petroleum (20 : 1) eluent to afford the desired products **7**.

7.3 Procedure for the synthesis of product 8.



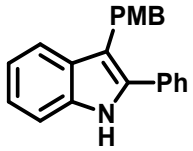
A 10 ml schlenk tube tube equipped with a magnetic stir bar was charged with 3-(4-methoxybenzyl)-2-phenyl-1*H*-indole (29.9 mg, 0.1 mmol), $[Cp^*RhCl_2]_2$ (1.5 mg, 2.5 mol %), $Cu(OAc)_2{\cdot}H_2O$ (2.1 mg, 10 mmol%), and *o*-Xylene (1 mL) under air, then the reaction vial was capped and stirred at 100 °C in anoil bath for 6 h. After completion of the reaction, the reaction mixture was cooled to room temperature, diluted with EtOAc, and washed with chilled water (two to three times). The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel with EtOAc/ petroleum (3 :1) eluent to afford the desired products **8**.

8. Procedure for control experiments.



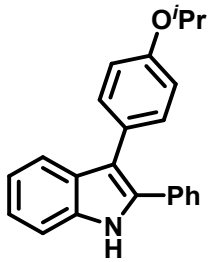
An oven-dried 10 mL schlenk tube with a magnetic stir bar was charged with 2-phenyl-1H-indole (0.1 mmol, 1.0 equiv.), aryl thianthrenium salt (0.15 mmol, 1.5 equiv.), $Pd(PPh_3)_4$ (11.5mg, 10 mol %) and K_2CO_3(27.6mg, 2.0 equiv..). The schlenk tube was evacuated and backfilled with argon for three to five times. Then, anhydrous 1,4-Dioxane (1.0 mL) was added under argon flow, and the resulting mixture was stirred at 60 °C for 24 h. Upon completion, it was cooled to room temperature, and monitored by TLC，The reaction did not take place and 2-phenylindole was not involved in the reaction.

9. Characterization of the indole and pyrrole products



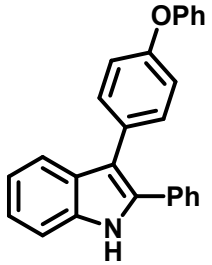
3-(4-methoxybenzyl)-2-phenyl-1H-indole (3aa): The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (26.9 mg, 90% yield) as a White solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.39 (m, 3H), 7.39 – 7.27 (m, 5H), 7.26 – 7.22 (m, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.94 (d, *J* = 8.6 Hz, 2H), 3.86 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.6, 136.3, 134.2, 133.3, 131.6, 129.4, 129.1, 128.5, 128.0, 127.8, 123.1, 120.8, 120.2, 115.2, 114.5, 111.3, 55.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{18}NO$ 300.1383, found: 300.1387.



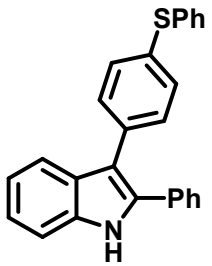
3-(4-isopropoxyphenyl)-2-phenyl-1H-indole (3ba), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (26.8 mg, 82% yield) as a White solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.42 (m, 3H), 7.36 – 7.31 (m, 4H), 7.30 – 7.27 (m, 1H), 7.23 (dd, *J* = 8.1, 1.0 Hz, 1H), 7.17 – 7.13 (m, 1H), 4.60 (h, *J* = 6.0 Hz, 1H), 1.38 (d, *J* = 6.0 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.9, 136.3, 134.1, 133.3, 131.6, 129.5, 129.1, 128.5, 128.0, 127.5, 123.1, 120.7, 120.2, 116.4, 115.3, 111.3, 70.3, 22.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{22}NO$ 328.1696, found: 328.1691.



3-(4-phenoxyphenyl)-2-phenyl-1H-indole (3ca): The compound was prepared by general procedure G. The crude product was purified by silica gel (eluted with petroleum ether : EtOAc = 20 : 1) to give the target product (33.8 mg, 89% yield) as a White solid,. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

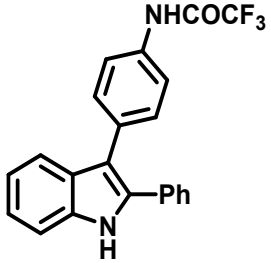
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (s, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.51 – 7.31 (m, 10H), 7.30 – 7.26 (m, 1H), 7.22 – 7.09 (m, 4H), 7.08 – 7.02 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 156.1, 136.2, 134.4, 133.1, 131.8, 130.4, 130.1, 129.2, 129.1, 128.5, 128.1, 123.6, 123.1, 120.8, 120.0, 119.4, 119.3, 114.8, 111.3. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{20}NO$ 362.1539, found: 362.1544.



2-phenyl-3-(4-(phenylthio)phenyl)-1H-indole (3da), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target

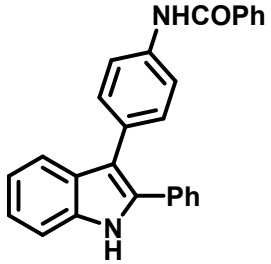
product (31.7 mg, 84% yield) as a White solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.49 – 7.29 (m, 14H), 7.28 – 7.24 (m, 2H), 7.17 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.9, 134.4, 134.1, 133.1, 132.5, 131.2, 131.0, 130.9, 130.9, 129.2, 128.8, 128.5, 128.3, 127.9, 127.0, 122.8, 120.6, 119.6, 114.2, 111.0. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{20}NS$ 378.1311, found: 318.1303.



2,2,2-trifluoro-*N*-(4-(2-phenyl-1H-indol-3-yl)phenyl)acetamide (3ea), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (20.1 mg, 58% yield) as a White solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

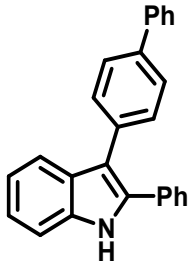
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.92 (s, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.62 – 7.57 (m, 2H), 7.50 – 7.41 (m, 5H), 7.38 – 7.31 (m, 3H), 7.28 (dd, *J* = 7.1, 1.2 Hz, 1H), 7.20 – 7.16 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.1 (*q*, J = 37.3 Hz), 136.3, 134.9, 133.9, 133.6, 132.9, 131.4, 129.3, 128.9, 128.7, 128.4, 123.4, 121.1, 121.0, 119.8, 116.2 (*q*, J = 289.8 Hz), 114.3, 111.5. ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{18}N_2OF_3$ 381.1209, found: 381.1210.



***N*-(4-(2-phenyl-1H-indol-3-yl)phenyl)benzamide (3fa),** The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (30.2 mg, 78% yield) as a White solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 20 : 1).

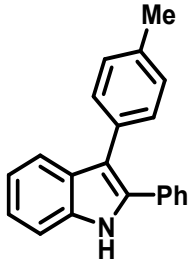
^{1}H NMR (500 MHz, DMSO-d_6) δ 11.55 (s, 1H), 10.32 (s, 1H), 8.00 – 7.97 (m, 2H), 7.84 – 7.81 (m, 2H), 7.63 – 7.59 (m, 1H), 7.57 – 7.54 (m, 2H), 7.51 (t, *J* = 7.3 Hz, 3H), 7.46 (d, *J* = 8.1 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 2H), 7.35 – 7.30 (m, 3H), 7.19 – 7.16 (m, 1H), 7.08 – 7.05 (m, 1H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.2, 137.9, 136.7, 135.7, 134.5, 133.2, 132.2, 131.2, 130.5, 129.2, 129.0, 128.8, 128.7, 128.3, 128.1,

122.6, 121.3, 120.3, 119.3, 113.7, 112.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{27}H_{12}N_2O$ 389.1648 found: 389.1655.



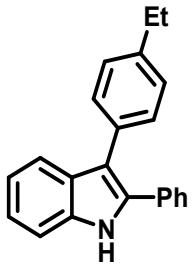
3-([1,1'-biphenyl]-4-yl)-2-phenyl-1H-indole (3ga), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (30.7 mg, 89% yield) as a White solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.69 (dd, *J* = 8.2, 1.1 Hz, 2H), 7.67 – 7.63 (m, 2H), 7.56 – 7.53 (m, 2H), 7.51 – 7.44 (m, 5H), 7.40 – 7.32 (m, 4H), 7.31 – 7.27 (m, 1H), 7.23 – 7.18 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.4, 139.2, 136.4, 134.7, 134.6, 133.2, 130.9, 129.2, 129.2, 129.2, 128.7, 128.2, 127.6, 127.6, 127.4, 123.2, 121.0, 120.2, 115.0, 111.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{20}N$ 346.1590 found: 346.1585.



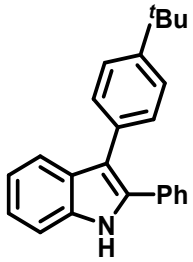
2-phenyl-3-(*p*-tolyl)-1H-indole (3ha), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (25.1 mg, 91% yield) as a White solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.42 (m, 3H), 7.39 – 7.31 (m, 5H), 7.30 – 7.26 (m, 1H), 7.24 – 7.16 (m, 3H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 136.3, 136.2, 134.3, 133.3, 132.4, 130.4, 129.7, 129.3, 129.1, 128.6, 128.0, 123.1, 120.8, 120.2, 115.4, 111.3, 21.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{18}N$ 284.1434 found: 284.1428.



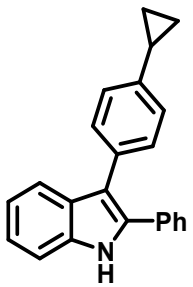
3-(4-ethylphenyl)-2-phenyl-1H-indole (3ia), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (25.5 mg, 86% yield) as a White solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.43 (t, *J* = 8.4 Hz, 3H), 7.38 – 7.28 (m, 5H), 7.22 (t, *J* = 7.2 Hz, 3H), 7.15 (t, *J* = 7.5 Hz, 1H), 2.70 (q, *J* = 7.6 Hz, 2H), 1.30 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 142.5, 136.3, 134.3, 133.3, 132.6, 130.4, 129.3, 129.1, 128.6, 128.5, 128.0, 123.1, 120.8, 120.3, 115.5, 111.3, 29.0, 15.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{20}N$ 298.1590 found: 298.1586.



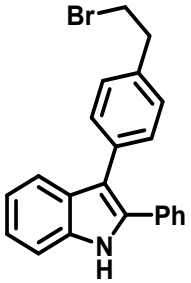
3-(4-(*tert*-butyl)phenyl)-2-phenyl-1H-indole (3ja), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (28.2 mg, 86% yield) as a White solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 6.3 Hz, 3H), 7.40 (s, 4H), 7.37 – 7.30 (m, 3H), 7.28 – 7.24 (m, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 1.39 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 149.4, 136.3, 134.3, 133.4, 132.3, 130.1, 129.3, 129.1, 128.6, 128.0, 125.8, 123.0, 120.7, 120.4, 115.4, 111.3, 35.0, 31.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{24}H_{24}N$ 326.1903 found: 326.1908.

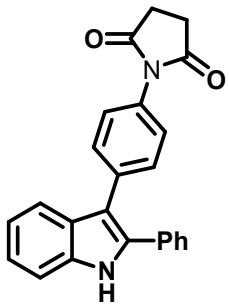


3-(4-cyclopropylphenyl)-2-phenyl-1H-indole (3ka), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target

product (25.0 mg, 81% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.42 (m, 3H), 7.37 – 7.29 (m, 5H), 7.28 – 7.24 (m, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 8.1 Hz, 2H), 1.98 – 1.92 (m, 1H), 1.04 – 0.99 (m, 2H), 0.80 – 0.74 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 142.2, 136.3, 134.2, 133.2, 132.4, 130.4, 129.2, 129.1, 128.5, 128.0, 126.1, 123.0, 120.7, 120.2, 115.3, 111.2, 15.6, 9.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{20}N$ 310.190 found: 310.1583.

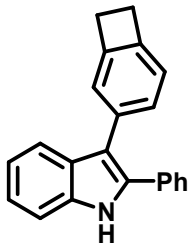


3-(4-(2-bromoethyl)phenyl)-2-phenyl-1H-indole (3la), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (31.2 mg, 83% yield) as a yellow solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.45 – 7.42 (m, 3H), 7.41 – 7.37 (m, 2H), 7.35 – 7.29 (m, 3H), 7.26 – 7.24 (m, 1H), 7.23 – 7.20 (m, 2H), 7.16 (t, *J* = 7.0 Hz, 1H), 3.62 (t, *J* = 7.8 Hz, 2H), 3.20 (t, *J* = 7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 136.8, 135.9, 134.1, 133.7, 132.7, 130.3, 128.8, 128.7, 128.2, 128.2, 127.8, 122.7, 120.5, 119.7, 114.7, 110.9, 39.4, 32.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{19}NBr$ 376.0695, found: 376.0689.



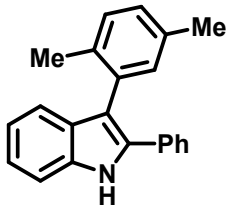
1-(4-(2-phenyl-1H-indol-3-yl)phenyl)pyrrolidine-2,5-dione (3ma), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (26.7 mg, 73% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 15 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 2H), 7.45 (t, *J* = 5.8 Hz, 3H), 7.39 – 7.27 (m, 5H), 7.24 (s, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 2.92 (s, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.8, 136.3, 136.1, 135.1, 132.9, 131.1, 130.2, 129.3, 128.9, 128.8, 128.4, 126.9, 123.3, 121.1, 120.1, 114.4, 111.4, 28.9. ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.8, 136.3, 136.1, 135.1, 132.9, 131.1, 130.2,

129.3, 128.9, 128.8, 128.4, 126.9, 123.3, 121.1, 120.1, 114.4, 111.4, 28.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{24}H_{19}N_2O_2$ 367.1441, found: 367.1438



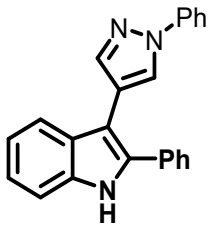
3-(bicyclo[4.2.0]*octa*-1,3,5-trien-3-yl)-2-phenyl-1H-indole (3na), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (18.5 mg, 63% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 3H), 7.36 – 7.29 (m, 3H), 7.28 (d, *J* = 3.3 Hz, 1H), 7.25 – 7.21 (m, 1H), 7.17 – 7.13 (m, 2H), 7.07 (d, *J* = 7.5 Hz, 1H), 3.22 (d, *J* = 3.3 Hz, 4H).^{13}C NMR (100 MHz, $CDCl_3$) δ 145.9, 143.8, 135.9, 133.7, 133.5, 132.9, 129.0, 129.0, 128.7, 128.1, 127.6, 124.3, 122.7, 122.6, 120.3, 119.9, 116.1, 110.8, 29.6, 29.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{18}N$ 296.1434, found: 296.1438.



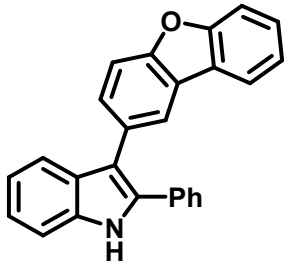
3-(2,5-dimethylphenyl)-2-phenyl-1H-indole (3oa), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (24.4 mg, 82% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.48 (d, *J* = 8.1 Hz, 1H), 7.40 (dd, *J* = 8.3, 1.4 Hz, 3H), 7.36 – 7.31 (m, 2H), 7.31 – 7.27 (m, 2H), 7.25 – 7.20 (m, 2H), 7.19 – 7.13 (m, 2H), 2.38 (s, 3H), 2.02 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 136.3, 135.6, 135.0, 134.7, 134.1, 133.4, 132.5, 130.6, 130.2, 129.2, 128.4, 127.8, 127.1, 123.0, 120.5, 120.5, 115.4, 111.2, 21.4, 20.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{20}N$ 298.1590, found: 298.1594.



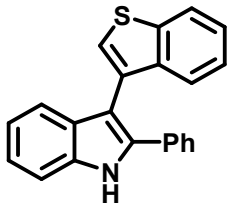
2-phenyl-3-(1-phenyl-1H-pyrazol-4-yl)-1H-indole (3pa), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (24.1 mg, 72% yield) as a white solid. R_f = 0.3 (eluted with petroleum ether : EtOAc = 10 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 8.02 (s, 1H), 7.78 (s, 1H), 7.72 (t, *J* = 7.6 Hz, 3H), 7.61 – 7.57 (m, 2H), 7.48 – 7.35 (m, 6H), 7.29 (t, *J* = 7.1 Hz, 2H), 7.23 – 7.19 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.7, 140.6, 136.4, 134.9, 133.2, 129.9, 129.3, 129.1, 128.6, 128.5, 126.7, 125.5, 123.3, 121.0, 119.9, 119.3, 117.6, 111.4, 105.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{18}N_3$ 336.1495, found: 2336.1486.



3-(dibenzo[*b,d*]furan-2-yl)-2-phenyl-1H-indole (3qa), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (15.4 mg, 43% yield) as a white solid R_f = 0.3. (eluted with petroleum ether : EtOAc = 16 : 1).

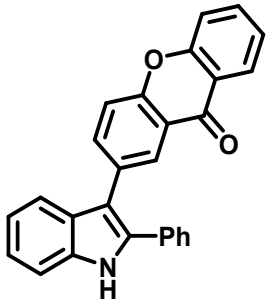
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (s, 1H), 8.06 (d, *J* = 1.6 Hz, 1H), 7.91 (d, *J* = 7.5 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.50 – 7.47 (m, 2H), 7.47 – 7.44 (m, 3H), 7.35 – 7.30 (m, 3H), 7.29 (dd, *J* = 5.7, 4.0 Hz, 2H), 7.21 – 7.17 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.9, 155.6, 136.3, 134.5, 133.0, 130.2, 130.2, 129.6, 129.2, 128.5, 128.1, 127.6, 125.1, 124.8, 123.3, 123.1, 122.5, 121.2, 120.9, 120.0, 115.4, 112.2, 112.1, 111.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{18}NO$ 360.1383, found: 360.1388.



3-(benzo[*b*]thiophen-3-yl)-2-phenyl-1H-indole (3ra), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (25.3 mg, 78% yield) as a white solid R_f = 0.4. (eluted with petroleum ether : EtOAc = 20 : 1).

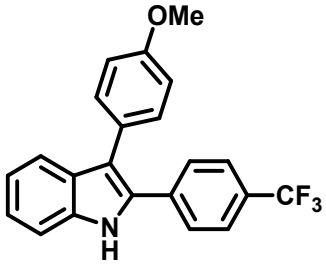
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (s, 1H), 7.93 (d, *J* = 8.0 Hz, 1H), 7.51 – 7.45 (m, 3H), 7.39 – 7.33 (m, 4H), 7.30 – 7.25 (m, 3H), 7.24 – 7.19 (m, 2H), 7.15 – 7.11 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.7, 139.3, 136.3, 135.7, 132.9, 130.9, 130.1, 129.2, 128.2, 127.8, 125.4, 124.6, 124.3, 124.3, 123.3, 123.1, 120.8, 120.6, 111.4,

108.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{16}NS$ 326.0998, found: 326.0991.



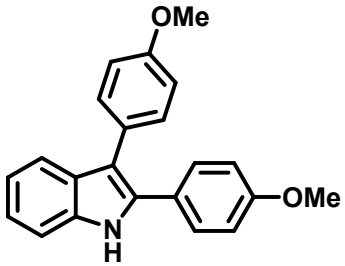
2-(2-phenyl-1H-indol-3-yl)-9H-xanthen-9-one (3sa), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.4 mg, 476% yield) as a white solid R_f = 0.4. (eluted with petroleum ether : EtOAc = 16 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (d, *J* = 2.2 Hz, 1H), 8.39 – 8.35 (m, 2H), 7.76 – 7.68 (m, 3H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.47 (dd, *J* = 8.4, 1.6 Hz, 2H), 7.44 – 7.38 (m, 3H), 7.32 (qd, *J* = 8.5, 5.0 Hz, 4H), 7.21 – 7.17 (m, 1H). ^{13}C NMR (100 MHz, DMSO) δ 176.5, 156.3, 154.7, 137.8, 136.8, 136.2, 135.5, 132.7, 132.2, 129.4, 129.0, 128.5, 128.3, 126.6, 126.5, 125.0, 122.9, 122.0, 121.7, 120.7, 119.3, 118.9, 118.8, 112.4, 112.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{18}NO_2$ 388.1332, found: 388.1339.



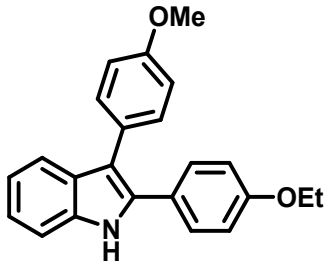
3-(4-methoxyphenyl)-2-(4-(trifluoromethyl)phenyl)-1H-indole (3ab), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (26.4 mg, 72% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.58 – 7.52 (m, 4H), 7.45 (d, *J* = 8.1 Hz, 1H), 7.34 (d, *J* = 8.6 Hz, 2H), 7.28 (d, *J* = 7.3 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 8.6 Hz, 2H), 3.87 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.0, 136.8, 136.8, 136.6, 132.4, 131.7, 129.4, 128.4, 127.2(q, *J* = 270 Hz), 127.1, 126.1 (q, *J* = 3.7 Hz), 123.8, 121.1, 120.5, 116.8, 114.7, 111.5, 55.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -58.8. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{17}NOF_3$ 368.1257, found: 368.1254.



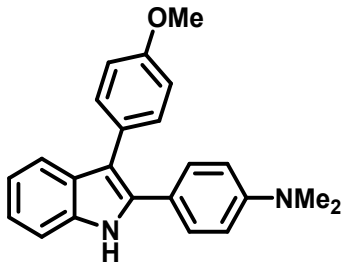
2,3-bis(4-methoxyphenyl)-1H-indole (3ac), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.6 mg, 90% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.16 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.38 – 7.30 (m, 4H), 7.24 – 7.20 (m, 1H), 7.16 – 7.12 (m, 1H), 6.96 – 6.92 (m, 2H), 6.90 – 6.85 (m, 2H), 3.86 (s, 3H), 3.82 (s, 3H).^{13}C NMR (125 MHz, $CDCl_3$) δ 159.5, 158.4, 136.1, 134.1, 131.5, 129.7, 129.4, 127.9, 125.7, 122.7, 120.6, 119.8, 114.5, 114.4, 114.2, 111.1, 55.7, 55.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{20}NO_2$ 330.1489, found: 330.1490.



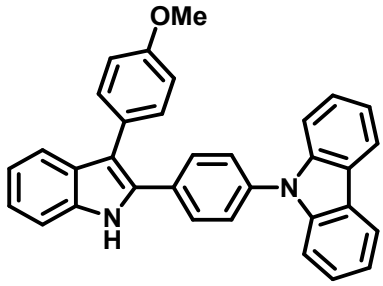
2-(4-ethoxyphenyl)-3-(4-methoxyphenyl)-1H-indole (3ad), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (30.1mg, 88% yield) as a white solid R_f = 0.5. (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.65 (d, *J* = 7.9 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 1H), 7.36 (t, *J* = 8.0 Hz, 3H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 6.94 (d, *J* = 8.6 Hz, 2H), 6.86 (d, *J* = 8.7 Hz, 2H), 4.04 (q, *J* = 7.0 Hz, 2H), 3.86 (s, 3H), 1.43 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.0, 158.4, 136.1, 134.3, 131.6, 129.8, 129.4, 128.0, 125.6, 122.7, 120.6, 119.9, 115.1, 114.4, 114.1, 111.2, 63.9, 55.7, 15.3. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{22}NO_2$ 344.1645, found: 344.1650



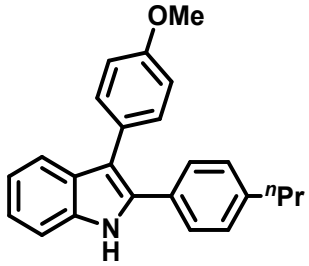
4-(3-(4-methoxyphenyl)-1H-indol-2-yl)-*N*,*N*-dimethylaniline (3ae), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (18.1 mg, 53% yield) as a brown solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.39 (dd, *J* = 8.7, 2.4 Hz, 3H), 7.33 – 7.30 (m, 2H), 7.20 – 7.17 (m, 1H), 7.13 – 7.10 (m, 1H), 6.95 – 6.92 (m, 2H), 6.68 (d, *J* = 8.8 Hz, 2H), 3.86 (s, 3H), 2.97 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.3, 150.2, 136.1, 135.0, 131.6, 129.7, 129.3, 128.5, 122.3, 121.0, 120.5, 119.6, 114.4, 113.2, 112.7, 111.0, 55.7, 40.8. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{23}ON_2$ 343.1805, found: 343.1806.



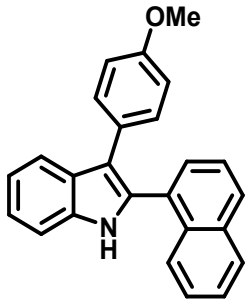
9-(4-(3-(4-methoxyphenyl)-1H-indol-2-yl)phenyl)-9H-carbazole (3af), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.5 mg, 56% yield) as a yellow solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 16 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 8.16 (d, *J* = 7.8 Hz, 2H), 7.70 – 7.64 (m, 3H), 7.54 (d, *J* = 8.5 Hz, 2H), 7.49 – 7.41 (m, 7H), 7.33 – 7.27 (m, 3H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.01 (d, *J* = 8.7 Hz, 2H), 3.88 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.9, 141.1, 137.3, 136.5, 133.2, 132.2, 131.7, 129.6, 129.6, 127.6, 127.5, 126.4, 124.0, 123.4, 121.0, 120.8, 120.6, 120.3, 115.9, 114.7, 111.4, 110.3, 55.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{33}H_{25}ON_2$ 465.1961, found: 465.1958.



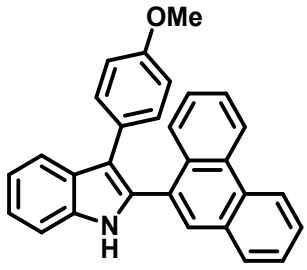
3-(4-methoxyphenyl)-2-(4-propylphenyl)-1H-indole (3ag), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.4 mg, 86% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.39 (m, 2H), 7.38 – 7.34 (m, 3H), 7.26 – 7.22 (m, 1H), 7.16 (t, *J* = 7.1 Hz, 3H), 6.96 (d, *J* = 8.7 Hz, 2H), 3.87 (s, 3H), 2.60 ((t, *J* = 7.8 Hz, 2H)), 1.71 – 1.63 (m, 2H), 0.98 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.1, 142.3, 135.8, 133.9, 131.2, 130.2, 129.2, 129.1, 127.9, 127.6, 122.5, 120.3, 119.6, 114.3, 114.0, 110.8, 55.3, 37.8, 24.4, 13.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{24}H_{24}ON$ 342.1852, found: 342.1860.



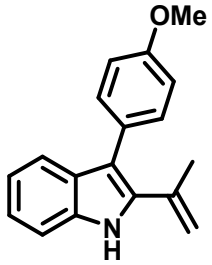
3-(4-methoxyphenyl)-2-(naphthalen-1-yl)-1H-indole (3ai), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (28.9 mg, 83% yield) as a white solid. R_f = 0.5. (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.89 – 7.82 (m, 4H), 7.48 – 7.39 (m, 4H), 7.37 – 7.33 (m, 1H), 7.29 – 7.24 (m, 2H), 7.23 – 7.19 (m, 2H), 6.76 – 6.71 (m, 2H), 3.71 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.1, 136.3, 134.2, 133.2, 132.7, 131.2, 130.8, 129.7, 129.2, 128.8, 128.2, 127.8, 127.0, 126.5, 126.5, 125.8, 122.9, 120.7, 120.2, 116.8, 114.2, 111.3, 55.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{25}H_{20}ON$ 350.1539, found: 350.1536.



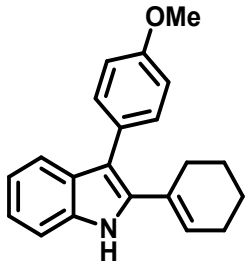
3-(4-methoxyphenyl)-2-(phenanthren-9-yl)-1H-indole (3aj), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (31.5 mg, 79% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (t, *J* = 9.2 Hz, 2H), 8.23 (s, 1H), 7.92 (d, *J* = 7.8 Hz, 1H), 7.90 – 7.87 (m, 1H), 7.79 (d, *J* = 7.6 Hz, 2H), 7.71 – 7.67 (m, 1H), 7.66 – 7.61 (m, 1H), 7.61 – 7.57 (m, 1H), 7.47 – 7.42 (m, 2H), 7.34 – 7.29 (m, 3H), 7.28 – 7.23 (m, 1H), 6.74 – 6.70 (m, 2H), 3.69 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.1, 136.3, 133.0, 131.6, 131.5, 130.9, 130.8, 130.7, 130.6, 130.0, 129.4, 128.1, 127.8, 127.6, 127.4, 127.3, 127.2, 123.3, 123.0, 120.8, 120.3, 117.0, 114.2, 111.4, 55.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{29}H_{22}ON$ 400.1696, found: 400.1699.



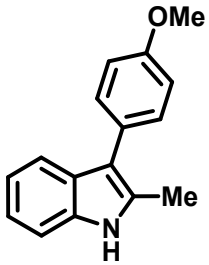
3-(4-methoxyphenyl)-2-(prop-1-en-2-yl)-1H-indole (3ak), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (19.9 mg, 76% yield) as a white solid R_f = 0.5. (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.42 – 7.39 (m, 2H), 7.36 (d, *J* = 8.1 Hz, 1H), 7.23 – 7.20 (m, 1H), 7.12 – 7.08 (m, 1H), 7.00 – 6.98 (m, 2H), 5.29 (s, 1H), 5.16 – 5.14 (m, 1H), 3.88 (s, 3H), 1.94 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 158.7, 137.6, 135.3, 135.1, 131.5, 129.6, 128.2, 123.0, 120.4, 119.9, 115.5, 115.2, 114.1, 110.9, 55.7, 22.3. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{18}H_{18}ON$ 264.1383, found: 264.1378.



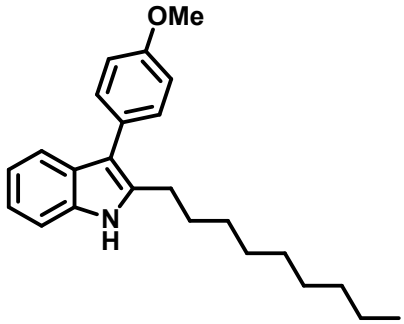
2-(cyclohex-1-en-1-yl)-3-(4-methoxyphenyl)-1H-indole (3al), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (21.8 mg, 72% yield) as a white solid R_f = 0.5. (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.39 (m, 2H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.20 – 7.15 (m, 1H), 7.11 – 7.07 (m, 1H), 6.97 (d, *J* = 8.7 Hz, 2H), 6.36 – 5.81 (m, 1H), 3.87 (s, 3H), 2.33 – 1.96 (m, 4H), 1.68 – 1.60 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 136.5, 135.2, 131.6, 131.3, 129.4, 128.7, 128.4, 122.4, 120.3, 119.6, 114.1, 113.7, 110.9, 55.7, 28.1, 26.2, 23.2, 22.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{22}ON$ 304.1696, found: 304.1703.



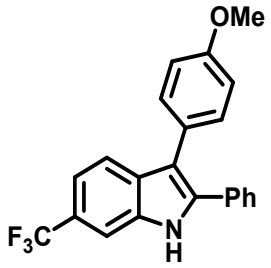
3-(4-methoxyphenyl)-2-methyl-1H-indole (3am), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (22.0 mg, 93% yield) as a white solid. R_f = 0.5. (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.45 – 7.42 (m, 2H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.18 – 7.14 (m, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.04 – 7.01 (m, 2H), 3.88 (s, 3H), 2.49 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 158.2, 135.6, 131.4, 130.9, 128.4, 128.2, 121.8, 120.2, 119.1, 114.5, 114.4, 110.6, 55.7, 12.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{16}H_{16}ON$ 238.1226, found: 238.1221



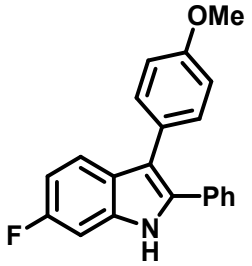
3-(4-methoxyphenyl)-2-nonyl-1H-indole (3am), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (27.9 mg, 80% yield) as a brown oil. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1)

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.60 (d, *J* = 7.8 Hz, 1H), 7.34 (d, *J* = 8.0 Hz, 1H), 7.18 – 7.15 (m, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.03 – 7.00 (m, 2H), 3.88 (s, 3H), 2.83 (t, *J* = 7.8 Hz, 2H), 1.71 – 1.66 (m, 2H), 1.36 – 1.32 (m, 2H), 1.30 – 1.24 (m, 10H), 0.88 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 158.3, 136.1, 135.5, 131.1, 128.6, 128.2, 121.8, 120.1, 119.2, 114.4, 114.3, 110.7, 55.7, 32.3, 30.3, 29.9, 29.8, 29.8, 29.7, 26.7, 23.1, 14.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{24}H_{32}ON$ 350.2478, found: 350.2474.



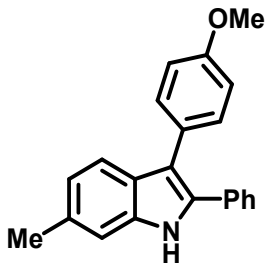
3-(4-methoxyphenyl)-2-phenyl-6-(trifluoromethyl)-1H-indole (3an), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.7 mg, 81% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.92 – 7.90 (m, 1H), 7.49 – 7.46 (m, 2H), 7.45 – 7.43 (m, 2H), 7.36 – 7.32 (m, 5H), 6.98 – 6.95 (m, 2H), 3.87 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.0, 137.6, 135.8, 132.6, 131.6, 129.3, 128.9, 128.5, 128.5, 126.8, 125.8 (q, *J* = 270.0 Hz), 123.2 (q, *J* = 31.6 Hz), 119.8 (q, *J* = 3.4 Hz), 117.9 (q, *J* = 4.2 Hz), 114.8, 111.5, 55.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -60.3. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{17}ONF_3$ 368.1257, found: 368.1255.



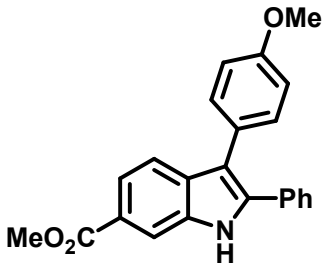
6-fluoro-3-(4-methoxyphenyl)-2-phenyl-1H-indole (3ao), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (26.6 mg, 84% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.55 (dd, *J* = 8.7, 5.4 Hz, 1H), 7.41 (d, *J* = 7.0 Hz, 2H), 7.36 – 7.28 (m, 5H), 7.10 (dd, *J* = 9.4, 2.1 Hz, 1H), 6.96 – 6.88 (m, 3H), 3.86 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.7 (d, *J* = 237.1 Hz), 158.7, 136.2 (d, *J* = 12.4 Hz), 134.4 (d, *J* = 3.7 Hz), 133.0, 131.6, 129.2, 128.3, 128.1, 127.4, 126.1, 121.1 (d, *J* = 10.0 Hz), 115.1, 114.6, 109.4 (d, *J* = 24.2 Hz), 97.6 (d, *J* = 26.1 Hz), 55.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -120.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{17}ONF$ 318.1289, found: 318.1291.



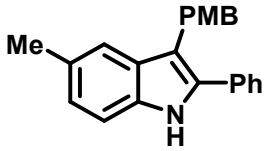
3-(4-methoxyphenyl)-6-methyl-2-phenyl-1*H*-indole (3ap), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (27.5 mg, 88% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (s, 1H), 7.55 (dd, *J* = 8.1, 4.3 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.39 – 7.31 (m, 4H), 7.31 – 7.27 (m, 1H), 7.21 (s, 1H), 3.86 (s, 3H), 2.50 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 136.8, 133.5, 133.0, 131.6, 129.1, 128.4, 128.4, 128.0, 127.8, 127.3, 122.5, 119.8, 115.0, 114.5, 114.5, 111.2, 111.2, 55.7, 22.2. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{20}ON$ 314.1539, found: 314.1535.



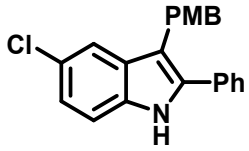
methyl 3-(4-methoxyphenyl)-2-phenyl-1*H*-indole-6-carboxylate (3aq), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (31.7 mg, 89% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (s, 1H), 8.19 (s, 1H), 7.82 (d, *J* = 8.3 Hz, 1H), 7.65 (d, *J* = 8.2 Hz, 1H), 7.46 (d, *J* = 6.6 Hz, 2H), 7.39 – 7.29 (m, 5H), 6.95 (d, *J* = 8.2 Hz, 2H), 3.95 (s, 3H), 3.86 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 158.9, 137.5, 135.6, 132.9, 132.6, 131.6, 129.3, 128.7, 128.6, 127.0, 124.5, 121.8, 119.7, 115.5, 114.6, 113.7, 55.7, 52.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{20}O_3N$ 358.1438, found: 358.1433.



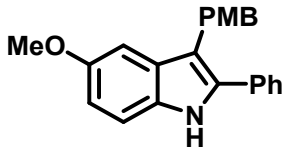
3-(4-methoxybenzyl)-5-methyl-2-phenyl-1*H*-indole (3ar), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (27.1 mg, 83% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.45 – 7.40 (m, 3H), 7.39 – 7.26 (m, 6H), 7.07 (dd, *J* = 8.3, 1.6 Hz, 1H), 6.95 (d, *J* = 8.5 Hz, 2H), 3.86 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 158.5, 134.6, 134.2, 133.3, 131.6, 130.0, 129.6, 129.0, 128.3, 127.9, 127.8, 124.6, 119.6, 114.7, 114.4, 110.9, 55.6, 21.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{22}ON$ 328.1696 found: 328.1691.



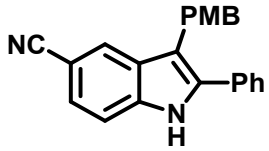
5-chloro-3-(4-methoxybenzyl)-2-phenyl-1*H*-indole (3as), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (28.1 mg, 81% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.61 (d, *J* = 2.0 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.36 – 7.28 (m, 6H), 7.18 (dd, *J* = 8.6, 2.0 Hz, 1H), 6.97 – 6.91 (m, 2H), 3.86 (s, 3H).^{13}C NMR (125 MHz, CDCl3) δ 158.8, 135.4, 134.6, 132.7, 131.5, 130.5, 129.2, 128.4, 128.3, 127.0, 126.4, 123.3, 119.5, 114.8, 114.6, 112.3, 55.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{22}H_{19}ONCl$ 348.1150 found: 348.1155.



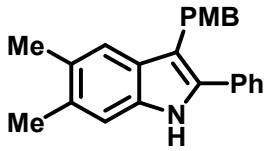
5-methoxy-3-(4-methoxybenzyl)-2-phenyl-1*H*-indole (3at), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.5 mg, 86% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 10 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.16 (s, 1H), 7.44 – 7.39 (m, 2H), 7.38 – 7.34 (m, 2H), 7.34 – 7.30 (m, 3H), 7.30 – 7.26 (m, 1H), 7.10 (d, *J* = 2.5 Hz, 1H), 6.99 – 6.94 (m, 2H), 6.91 (dd, *J* = 8.8, 2.4 Hz, 1H), 3.87 (s, 3H), 3.84 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 158.5, 155.0, 135.0, 133.2, 131.5, 131.4, 129.7, 129.0, 128.3, 127.8, 114.9, 114.5, 113.3, 112.1, 101.5, 56.3, 55.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{22}O_2N$ 344.1645 found: 344.1639.



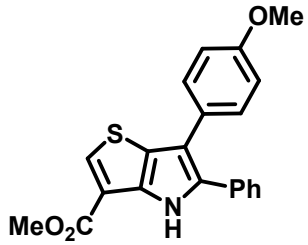
3-(4-methoxybenzyl)-2-phenyl-1*H*-indole-5-carbonitrile (3au), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (24.4 mg, 72% yield) as a white solid. R_f = 0.3 (eluted with petroleum ether : EtOAc = 4 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H), 7.98 (s, 1H), 7.49 – 7.41 (m, 4H), 7.36 (dd, *J* = 9.1, 3.8 Hz, 3H), 7.33 – 7.28 (m, 2H), 7.01 – 6.92 (m, 2H), 3.87 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 137.8, 136.1, 132.0, 131.4, 129.6, 129.3, 128.8, 128.4, 126.1, 125.8, 121.1, 115.6, 114.8, 112.1, 103.8, 55.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{23}H_{19}ON_2$ 339.1492 found: 339.1497.



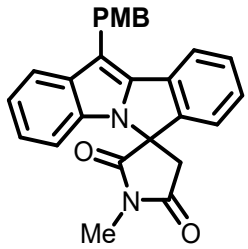
3-(4-methoxybenzyl)-5,6-dimethyl-2-phenyl-1*H*-indole (3av), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (27.6 mg, 81% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.46 – 7.40 (m, 3H), 7.39 – 7.35 (m, 2H), 7.35 – 7.30 (m, 2H), 7.28 – 7.25 (m, 1H), 6.96 (d, *J* = 8.7 Hz, 2H), 3.87 (s, 3H), 2.41 (s, 3H), 2.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 135.3, 133.6, 133.3, 132.2, 131.6, 129.5, 129.0, 128.3, 128.2, 127.9, 127.7, 120.1, 114.6, 114.4, 111.7, 55.7, 21.0, 20.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{24}H_{24}ON$ 342.1852 found: 342.1858.



methyl 6-(4-methoxyphenyl)-5-phenyl-4*H*-thieno[3,2-*b*]pyrrole-3-carboxylate (3aw), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (27.6 mg, 76% yield) as a white solid. R_f = 0.5 (eluted with petroleum ether : EtOAc = 20 : 1).

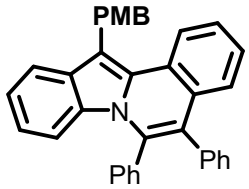
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (s, 1H), 7.48 – 7.45 (m, 2H), 7.36 – 7.32 (m, 6H), 6.89 – 6.87 (m, 2H), 3.89 (s, 3H), 3.83 (s, 3H).^{13}C NMR (125 MHz, $CDCl_3$) δ 164.1, 158.6, 145.5, 142.3, 139.7, 132.8, 130.2, 129.2, 128.8, 128.6, 127.0, 115.9, 114.5, 110.7, 100.8, 55.6, 52.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{18}O_3NS$ 364.1002 found: 364.1007.



11-(4-methoxybenzyl)-1'-methylspiro[isoindolo[2,1-*a*]indole-6,3'-pyrrolidine]-2',5'-dione (5), The compound was prepared by procedure for the synthesis of product 5 .The crude product was purified by silica gel to give the target product (35.4 mg, 87% yield) as a pink solid. R_f = 0.3 (eluted with petroleum ether : EtOAc = 3 : 1).

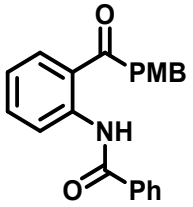
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 – 7.76 (m, 2H), 7.69 – 7.64 (m, 2H), 7.40 – 7.35 (m, 1H), 7.34 – 7.29 (m, 1H), 7.29 – 7.19 (m, 2H), 7.20 – 7.15 (m, 1H), 7.12 – 7.07

(m, 2H), 6.97 (d, *J* = 7.9 Hz, 1H), 3.92 (s, 3H), 3.61 (d, *J* = 18.7 Hz, 1H), 3.35 (d, *J* = 18.7 Hz, 1H), 3.30 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.5, 173.9, 159.1, 145.2, 138.7, 133.2, 132.8, 132.5, 130.8, 130.3, 128.5, 126.6, 123.7, 121.9, 121.8, 121.6, 121.3, 114.7, 111.7, 108.7, 67.8, 55.8, 40.8, 26.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{21}O_3N_2$ 409.1547 found: 409.1545.



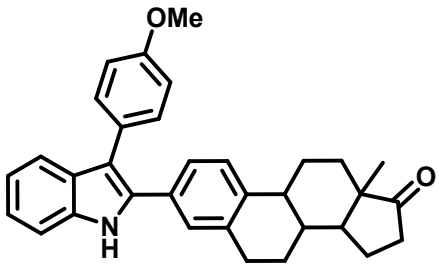
12-(4-methoxybenzyl)-5,6-diphenylindolo[2,1-*a*]isoquinoline (7), The compound was prepared by procedure for the synthesis of product 7 .The crude product was purified by silica gel to give the target product (42.3mg, 89% yield) as a white solid R_f = 0.6 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.62 – 7.39 (m, 3H), 7.39 – 7.28 (m, 5H), 7.26 – 6.98 (m, 11H), 6.89 – 6.78 (m, 1H), 5.99 (d, *J* = 8.7 Hz, 1H), 3.94 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.4, 137.4, 136.4, 136.1, 132.6, 132.3, 131.9, 131.5, 131.3, 131.3, 131.2, 129.1, 129.1, 128.8, 128.3, 127.3, 127.2, 126.9, 126.5, 126.5, 124.9, 122.0, 122.0, 121.1, 119.4, 115.0, 114.9, 112.1, 55.8. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{35}H_{26}ON$ 476.2009 found: 476.2012.



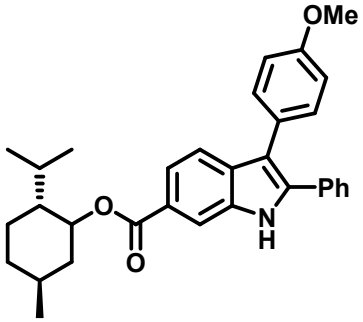
***N*-(2-(2-(4-methoxyphenyl)acetyl)phenyl)benzamide (8),** The compound was prepared by procedure for the synthesis of product 8 .The crude product was purified by silica gel to give the target product (29.7mg, 89% yield) as a white solid. R_f = 0.2 (eluted with petroleum ether : EtOAc = 3 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 11.70 (s, 1H), 8.83 (dd, *J* = 8.8, 1.2 Hz, 1H), 8.11 – 7.99 (m, 2H), 7.81 – 7.72 (m, 2H), 7.68 – 7.59 (m, 2H), 7.58 – 7.42 (m, 3H), 7.17 – 7.10 (m, 1H), 7.04 – 6.93 (m, 2H), 3.90 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.0, 166.2, 163.8, 141.0, 135.1, 134.4, 133.8, 133.0, 132.4, 131.5, 129.3, 127.8, 124.5, 122.6, 122.1, 114.1, 56.0. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{21}H_{17}O_3N$ 331.1208 found: 331.1200.



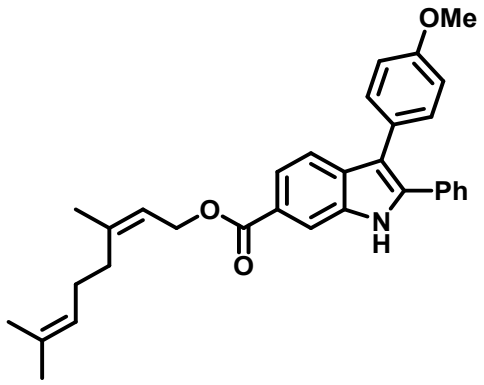
3-(3-(4-methoxyphenyl)-1H-indol-2-yl)-13-methyl-6,7,8,9,11,12,13,14,15,16-decahydro-17H-cyclopenta[*a*]phenanthren-17-one (9), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (38.5 mg, 81% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 10 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.40 (dd, *J* = 13.8, 8.3 Hz, 3H), 7.25 – 7.18 (m, 4H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 8.6 Hz, 2H), 3.86 (s, 3H), 2.89 – 2.83 (m, 2H), 2.51 (dd, *J* = 18.8, 8.6 Hz, 1H), 2.44 – 2.37 (m, 1H), 2.35 – 2.27 (m, 1H), 2.22 – 2.11 (m, 1H), 2.09 (dd, *J* = 10.6, 5.3 Hz, 1H), 1.98 (dd, *J* = 13.9, 4.3 Hz, 2H), 1.66 (dd, *J* = 16.6, 3.8 Hz, 1H), 1.56 – 1.43 (m, 4H), 0.92 (s, 3H).^{13}C NMR (100 MHz, $CDCl_3$) δ 221.3, 158.6, 139.7, 137.3, 136.2, 134.1, 131.7, 130.7, 129.5, 128.6, 128.0, 126.1, 126.0, 122.9, 120.7, 120.0, 114.8, 114.4, 111.2, 55.7, 51.0, 48.4, 44.9, 38.5, 36.3, 32.0, 29.9, 26.9, 26.1, 22.0, 14.3. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{33}H_{34}O_2N$ 476.2584 found: 476.2575.



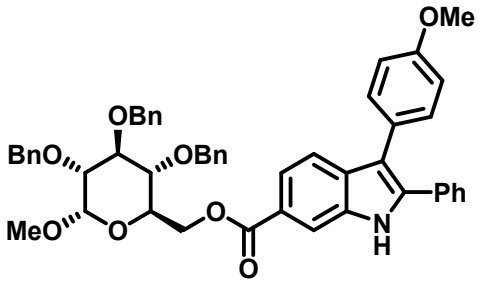
(2*R*,5*S*)-2-isopropyl-5-methylcyclohexyl 3-(4-methoxyphenyl)-2-phenyl-1H-indole-6-carboxylate (10), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (37.5 mg, 78% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (s, 1H), 8.24 (s, 1H), 7.84 (dd, *J* = 8.4, 1.3 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.46 (dd, *J* = 7.9, 1.5 Hz, 2H), 7.38 – 7.31 (m, 5H), 6.97 – 6.93 (m, 2H), 4.96 (td, *J* = 10.8, 4.3 Hz, 1H), 3.86 (s, 3H), 2.20 – 2.14 (m, 1H), 2.06 – 1.98 (m, 1H), 1.74 (d, *J* = 11.4 Hz, 2H), 1.60 – 1.50 (m, 2H), 1.19 – 1.09 (m, 2H), 0.94 (d, *J* = 2.2 Hz, 3H), 0.92 (d, *J* = 2.7 Hz, 3H), 0.80 (d, *J* = 6.9 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 158.8, 137.4, 135.6, 132.8, 132.7, 131.6, 129.2, 128.6, 128.6, 127.2, 125.2, 121.8, 119.5, 115.5, 114.6, 113.7, 75.0, 55.7, 47.9, 41.6, 34.9, 31.9, 27.0, 24.2, 22.5, 21.2, 17.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{32}H_{36}O_3N$ 482.2680 found: 482.2695.



(*Z*)-3,7-dimethylocta-2,6-dien-1-yl 3-(4-methoxyphenyl)-2-phenyl-1H-indole-6-carboxylate (11), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (31.1 mg, 65% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

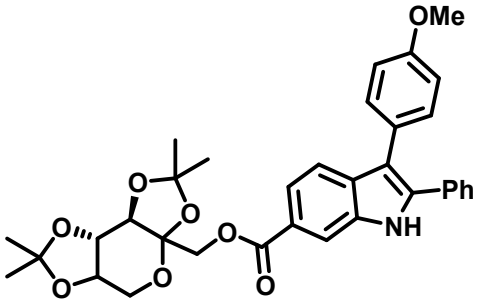
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (s, 1H), 8.20 (d, *J* = 1.4 Hz, 1H), 7.83 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.64 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.43 (m, 2H), 7.38 – 7.32 (m, 5H), 6.95 (d, *J* = 8.7 Hz, 2H), 5.57 – 5.51 (m, 1H), 5.14 (tt, *J* = 5.5, 2.8 Hz, 1H), 4.87 – 4.83 (m, 2H), 3.86 (s, 3H), 2.23 – 2.18 (m, 2H), 2.17 – 2.10 (m, 2H), 1.81 (s, 3H), 1.68 (s, 3H), 1.62 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 168.0, 158.8, 142.8, 137.3, 135.5, 132.8, 132.6, 131.5, 129.2, 128.5, 127.0, 124.8, 124.1, 121.8, 120.0, 119.5, 115.4, 114.5, 113.6, 61.9, 55.7, 32.7, 27.1, 26.1, 24.0, 18.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{32}H_{34}O_3N$ 480.2533 found: 480.2530.



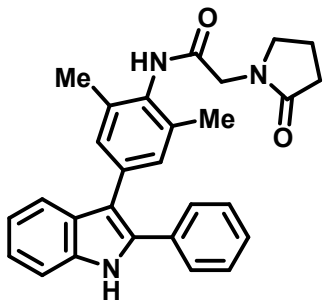
((2*R*,3*R*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2H-pyran-2-yl)methyl 3-(4-methoxyphenyl)-2-phenyl-1H-indole-6-carboxylate (12), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (54.5 mg, 69% yield) as a white solid. R_f = 0.45 (eluted with petroleum ether : EtOAc = 16 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 8.19 (d, *J* = 1.4 Hz, 1H), 7.82 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.45 (m, 2H), 7.39 – 7.33 (m, 14H), 7.30 (d, *J* = 4.3 Hz, 6H), 6.97 – 6.94 (m, 2H), 5.03 (d, *J* = 10.6 Hz, 1H), 4.95 (d, *J* = 10.8 Hz, 1H), 4.87 (d, *J* = 10.6 Hz, 1H), 4.83 (d, *J* = 12.2 Hz, 1H), 4.70 (d, *J* = 12.1 Hz, 1H), 4.66 (dd, *J* = 7.2, 3.6 Hz, 2H), 4.57 (dd, *J* = 10.9, 3.4 Hz, 2H), 4.09 (t, *J* = 9.2 Hz, 1H), 4.02 – 3.98 (m, 1H), 3.87 (s, 3H), 3.69 (dd, *J* = 10.0, 8.8 Hz, 1H), 3.62 (dd, *J* = 9.6, 3.5 Hz, 1H), 3.41 (s, 3H).^{13}C NMR (100 MHz, $CDCl_3$) δ 167.7, 158.8, 139.0, 138.5, 138.3, 137.6, 135.5, 133.0, 132.6, 129.2, 128.9, 128.6, 128.5, 128.5, 128.4, 128.3, 128.2, 127.0, 124.1, 121.9, 119.7, 115.5, 114.6, 113.8, 98.4, 82.5, 80.5, 78.3,

77.7, 76.4, 75.7, 73.8, 69.3, 63.7, 55.7, 55.7. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{50}H_{48}O_8N$ 790.3374 found: 790.3379.

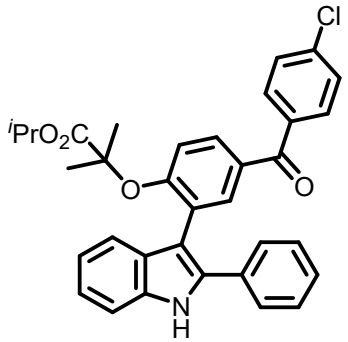


((2*R*,3*R*,4*S*,5*R*,6S)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2*H*-pyran-2-yl)methyl 3-(4-methoxyphenyl)-2-phenyl-1H-indole-6-carboxylate (13), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (42.7 mg, 73% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.86 (s, 1H), 8.28 (d, *J* = 1.5 Hz, 1H), 7.85 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.64 (d, *J* = 8.5 Hz, 1H), 7.45 (dd, *J* = 8.0, 1.7 Hz, 2H), 7.37 – 7.30 (m, 5H), 6.94 (d, *J* = 8.7 Hz, 2H), 4.79 (d, *J* = 11.8 Hz, 1H), 4.67 (dd, *J* = 7.9, 2.6 Hz, 1H), 4.54 (d, *J* = 2.6 Hz, 1H), 4.37 (d, *J* = 11.8 Hz, 1H), 4.28 (dd, *J* = 8.0, 1.6 Hz, 1H), 3.98 (dd, *J* = 13.1, 1.9 Hz, 1H), 3.85 (s, 3H), 3.83 (d, *J* = 13.0 Hz, 1H), 1.55 (s, 3H), 1.49 (s, 3H), 1.39 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 158.8, 137.8, 135.6, 133.0, 132.5, 131.6, 129.2, 128.6, 128.6, 127.0, 123.9, 121.8, 119.5, 115.3, 114.6, 114.2, 109.6, 109.3, 102.3, 71.3, 71.0, 70.6, 65.5, 61.8, 55.7, 27.0, 26.4, 26.0, 24.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{34}H_{36}O_8N$ 586.2435 found: 586.2428.

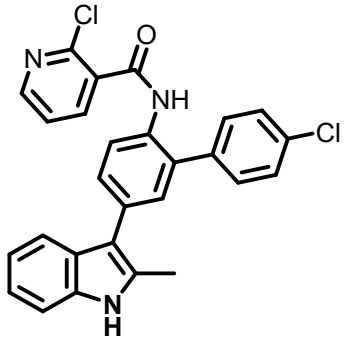


***N*-(2,6-dimethyl-4-(2-phenyl-1*H*-indol-3-yl)phenyl)-2-(2-oxopyrrolidin-1-yl)acetamide (14),** The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (34.0 mg, 78% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (s, 1H), 7.69 – 7.64 (m, 2H), 7.45 – 7.41 (m, 3H), 7.35 – 7.29 (m, 3H), 7.25 – 7.21 (m, 1H), 7.15 (s, 3H), 4.13 (s, 2H), 3.63 (t, *J* = 7.1 Hz, 2H), 2.48 (t, *J* = 8.1 Hz, 2H), 2.18 (s, 6H), 2.13 (q, *J* = 7.6 Hz, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 176.7, 167.4, 136.3, 135.6, 134.6, 134.5, 133.0, 131.9, 130.2, 129.2, 129.1, 128.5, 128.1, 123.1, 120.8, 120.2, 114.8, 111.2, 49.1, 48.4, 30.8, 18.9,

18.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{28}H_{28}O_2N_3$ 438.2176 found: 438.2172.

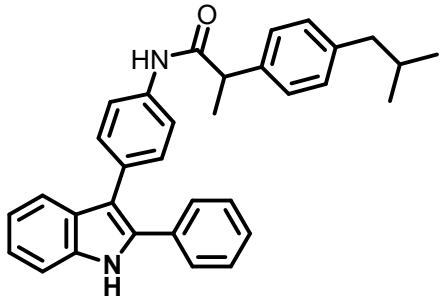


isopropyl 2-(4-(4-chlorobenzoyl)-2-(2-phenyl-1*H*-indol-3-yl)phenoxy)-2-methylpropanoatee (15), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (34.6 mg, 63% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.82 (dd, *J* = 8.7, 2.3 Hz, 1H), 7.63 (d, *J* = 2.3 Hz, 1H), 7.58 (dd, *J* = 7.9, 1.0 Hz, 1H), 7.47 – 7.44 (m, 2H), 7.43 – 7.34 (m, 6H), 7.26 (s, 1H), 7.25 – 7.19 (m, 2H), 7.15 – 7.09 (m, 1H), 6.80 (d, *J* = 8.7 Hz, 1H), 5.08 (p, *J* = 6.3 Hz, 1H), 1.42 (s, 3H), 1.34 (s, 3H), 1.24 (d, *J* = 6.3 Hz, 3H), 1.17 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 194.6, 173.8, 158.3, 138.4, 136.7, 136.2, 135.7, 133.7, 131.4, 130.2, 129.8, 129.5, 129.2, 128.8, 128.4, 128.0, 125.3, 122.8, 121.1, 120.3, 115.0, 111.2, 111.2, 79.5, 69.6, 26.5, 24.3, 22.0, 21.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{34}H_{36}O_4NCl$ 552.1936 found: 552.1932.



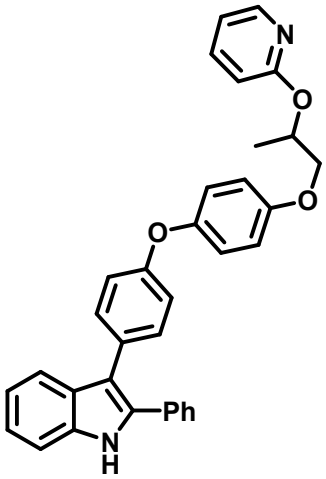
2-chloro-*N*-(4'-chloro-5-(2-methyl-1*H*-indol-3-yl)-[1,1'-biphenyl]-2-yl)nicotinamide (16), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.2 mg, 62% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.51 – 8.46 (m, 2H), 8.18 (dd, *J* = 7.6, 2.0 Hz, 2H), 8.05 (s, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.47 – 7.45 (m, 2H), 7.44 – 7.41 (m, 3H), 7.38 (dd, *J* = 7.6, 4.7 Hz, 1H), 7.36 – 7.33 (m, 1H), 7.20 – 7.16 (m, 1H), 7.14 – 7.11 (m, 1H), 2.55 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.0, 151.8, 147.2, 140.7, 136.9, 135.7, 134.9, 133.3, 133.0, 132.5, 132.2, 131.6, 131.3, 130.1, 129.8, 128.1, 123.4,

122.9, 122.2, 120.6, 119.0, 113.9, 110.9, 13.1. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{27}H_{20}ON_3Cl_2$ 552.1936 found: 552.1932.



2-(4-isobutylphenyl)-*N*-(4-(2-phenyl-1*H*-indol-3-yl)phenyl)propanamide (17), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (37.7 mg, 80% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

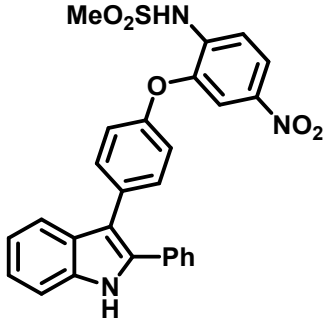
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.47 – 7.38 (m, 5H), 7.35 (d, *J* = 8.5 Hz, 2H), 7.28 (t, *J* = 8.5 Hz, 5H), 7.24 – 7.18 (m, 2H), 7.18 – 7.09 (m, 3H), 3.72 (q, *J* = 7.1 Hz, 1H), 2.49 (d, *J* = 7.2 Hz, 2H), 1.94 – 1.83 (m, 1H), 1.62 (d, *J* = 7.2 Hz, 3H), 0.93 (d, *J* = 6.6 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.1, 141.6, 138.5, 136.5, 136.3, 134.5, 133.1, 131.5, 131.0, 130.3, 129.1, 128.6, 128.1, 127.9, 123.1, 120.8, 120.3, 120.0, 114.8, 111.4, 48.2, 45.5, 30.6, 22.8, 18.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{33}H_{33}ON_2$ 473.2587 found: 473.2580.



2-phenyl-3-(4-(4-(2-(pyridin-2-yloxy)propoxy)phenoxy)phenyl)-1H-indole (18), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (36.3 mg, 71% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

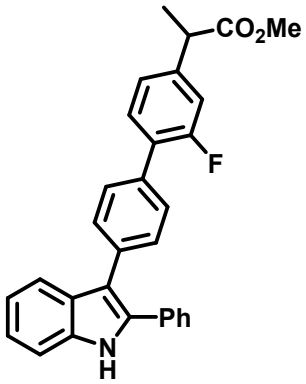
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (s, 1H), 8.16 – 8.13 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.56 – 7.53 (m, 1H), 7.43 – 7.38 (m, 3H), 7.36 – 7.33 (m, 2H), 7.33 – 7.29 (m, 2H), 7.29 – 7.26 (m, 1H), 7.24 – 7.21 (m, 1H), 7.16 – 7.12 (m, 1H), 7.03 – 7.00 (m, 2H), 6.95 – 6.91 (m, 4H), 6.86 – 6.83 (m, 1H), 6.74 (dd, *J* = 8.5, 0.9 Hz, 1H), 5.58 (dt,

J = 6.5, 5.0 Hz, 1H), 4.18 (dd, *J* = 9.9, 5.3 Hz, 1H), 4.07 (dd, *J* = 9.9, 4.8 Hz, 1H), 1.47 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.6, 157.4, 155.6, 150.7, 147.2, 139.2, 136.3, 134.4, 133.1, 131.7, 129.6, 129.2, 129.1, 128.6, 128.1, 123.1, 121.3, 120.8, 120.1, 118.1, 117.2, 116.2, 114.8, 112.1, 111.4, 71.5, 69.8, 17.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{34}H_{29}O_3N_2$ 513.2173 found: 513.2174.



***N*-(4-nitro-2-(4-(2-phenyl-1*H*-indol-3-yl)phenoxy)phenyl)methanesulfonamide (19),** The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (21.5 mg, 43% yield) as a white solid. R_f = 0.3 (eluted with petroleum ether : EtOAc = 20 : 1).

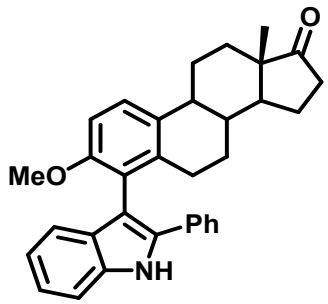
^{1}H NMR (400 MHz, DMSO-d_6) δ 11.61 (s, 1H), 11.31 (s, 1H), 7.79 – 7.70 (m, 3H), 7.53 – 7.43 (m, 6H), 7.41 – 7.29 (m, 5H), 7.19 – 7.13 (m, 1H), 7.09 – 7.00 (m, 1H), 3.34 (s, 3H).^{13}C NMR (100 MHz, DMSO-D_6) δ 156.1, 148.2, 138.9, 136.7, 135.1, 134.6, 134.6, 133.9, 133.0, 131.0, 129.3, 129.0, 128.4, 128.3, 127.2, 122.7, 120.5, 119.2, 115.0, 112.8, 112.2, 112.0, 41.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{27}H_{22}O_5N_3S$ 500.1275 found: 500.1279.



methyl 2-(2-fluoro-4'-(2-phenyl-1H-indol-3-yl)-[1,1'-biphenyl]-4-yl)propanoate (20), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (32.7 mg, 73% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

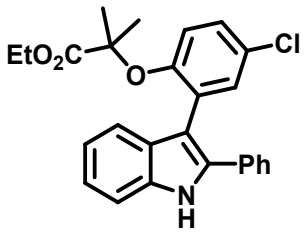
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.55 (dd, *J* = 8.3, 1.6 Hz, 2H), 7.50 (d, *J* = 8.4 Hz, 2H), 7.47 – 7.41 (m, 4H), 7.36 – 7.29 (m, 3H), 7.26 – 7.23 (m, 1H), 7.18 – 7.11 (m, 3H), 3.76 (q, *J* = 7.2 Hz, 1H), 3.70 (s, 3H), 1.54 (d, *J* = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.0, 160.2 (d, *J* = 246.8 Hz), 142.0 (d, *J* = 7.6 Hz), 136.4, 135.0, 134.8, 133.6 (d, *J* = 1.4 Hz), 133.1, 131.1 (d, *J* = 3.9 Hz), 130.5, 129.5(d, *J* = 3.1 Hz), 129.2, 129.1, 128.7, 128.3, 128.1 (d, *J* = 13.2 Hz), 124.0

(d, *J* = 3.3 Hz), 123.2, 121.0, 120.2, 115.7 (d, *J* = 23.7 Hz), 114.9, 111.4, 52.7, 45.4, 18.9. ^{19}F NMR (376 MHz, $CDCl_3$) δ -117.2. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{30}H_{.35}O_2NF$ 450.1864 found: 450.1870.



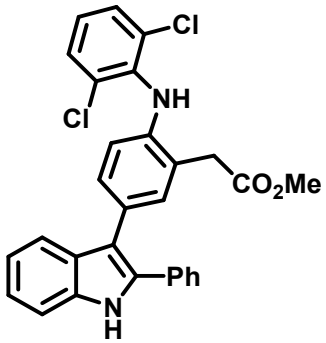
(13*S*)-3-methoxy-13-methyl-4-(2-phenyl-1H-indol-3-yl)-6,7,8,9,11,12,13,14,15,16-decahydro-17H-cyclopenta[a]phenanthren-17-one (21), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (15.2 mg, 32% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 10 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.50 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.40 (m, 3H), 7.33 – 7.26 (m, 4H), 7.26 – 7.18 (m, 2H), 7.13 – 7.09 (m, 1H), 6.67 (s, 1H), 3.49 (s, 3H), 3.02 – 2.95 (m, 2H), 2.51 (dd, *J* = 18.6, 8.7 Hz, 1H), 2.35 – 1.97 (m, 6H), 1.89 – 1.82 (m, 1H), 1.69 – 1.61 (m, 2H), 1.55 – 1.43 (m, 3H), 0.92 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 221.6, 155.7, 136.6, 136.3, 135.1, 134.1, 132.2, 130.0, 129.8, 128.9, 127.7, 127.6, 122.8, 121.7, 120.7, 120.5, 112.1, 111.7, 111.3, 55.6, 50.8, 48.5, 44.4, 38.9, 36.4, 32.0, 30.2, 27.1, 26.3, 22.1, 14.4. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{33}H_{34}O_2N$ 476.2584 found: 476.2589.

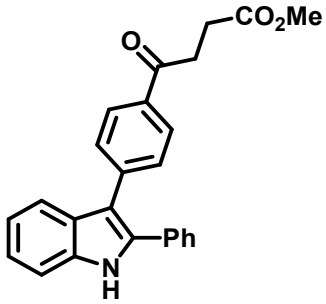


ethyl 2-(4-chloro-2-(2-phenyl-1H-indol-3-yl)phenoxy)-2-methylpropanoate (22), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target produc.t (18.1 mg, 42% yield) as a white solid R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

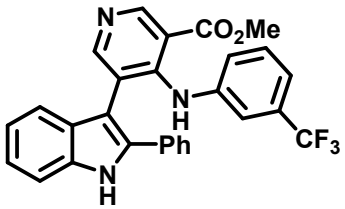
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.55 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.38 (m, 4H), 7.35 – 7.31 (m, 2H), 7.31 – 7.28 (m, 1H), 7.25 – 7.21 (m, 1H), 7.17 – 7.12 (m, 2H), 6.65 (d, *J* = 8.8 Hz, 1H), 4.16 – 4.08 (m, 2H), 1.21 – 1.15 (m, 6H), 1.09 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.8, 152.5, 136.3, 135.7, 133.9, 132.9, 129.8, 129.2, 128.6, 128.1, 127.8, 127.5, 126.5, 122.9, 120.7, 120.6, 117.9, 111.2, 110.6, 79.3, 61.7, 26.0, 24.2, 14.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. for $C_{26}H_{.25}O_3NCl$ 434.1517 found: 434.1524.



methyl 2-(2-((2,6-dichlorophenyl)amino)-5-(2-phenyl-1H-indol-3-yl)phenyl)acetate (23), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (21.0 mg, 42% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.46 – 7.41 (m, 3H), 7.36 (s, 1H), 7.34 – 7.28 (m, 5H), 7.25 – 7.21 (m, 1H), 7.18 – 7.13 (m, 2H), 7.02 (s, 1H), 6.96 (t, *J* = 8.1 Hz, 1H), 6.58 (d, *J* = 8.2 Hz, 1H), 3.80 (s, 2H), 3.76 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.3, 141.3, 138.4, 136.3, 134.3, 133.2, 132.8, 130.1, 129.5, 129.4, 129.2, 129.2, 129.1, 128.5, 128.0, 125.0, 124.1, 123.1, 120.8, 120.2, 119.3, 115.0, 111.3, 52.9, 39.0. HRMS (ESI–TOF) m/z [M + H]+ calcd. For $C_{29}H_{.23}O_2N_2Cl_2$ 501.1131 found: 501.1137.

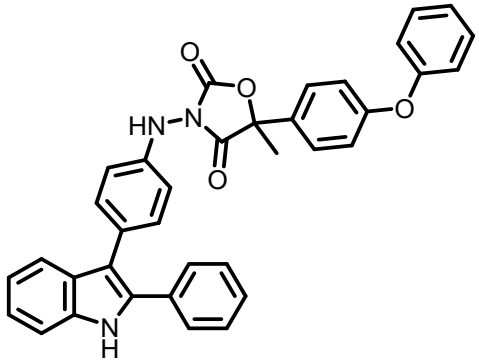


methyl 4-*oxo*-4-(4-(2-phenyl-1H-indol-3-yl)phenyl)butanoate (24), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (22.2 mg, 58% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 8.06 (d, *J* = 8.4 Hz, 2H), 7.77 – 7.73 (m, 3H), 7.66 (d, *J* = 8.3 Hz, 2H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.50 – 7.45 (m, 3H), 7.39 – 7.32 (m, 3H), 7.30 – 7.26 (m, 1H), 7.21 – 7.17 (m, 1H), 3.73 (s, 3H), 3.37 (t, *J* = 6.6 Hz, 2H), 2.81 (t, *J* = 6.6 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.1, 173.9, 146.1, 137.7, 136.4, 135.8, 135.5, 135.0, 133.1, 131.0, 129.2, 129.1, 129.0, 128.8, 128.3, 127.8, 127.4, 123.3, 121.1, 120.1, 114.7, 111.5, 52.3, 33.9, 28.5. HRMS (ESI–TOF) m/z [M + H]+ calcd. For $C_{25}H_{.2}O_2N$ 384.1594 found: 384.1590.



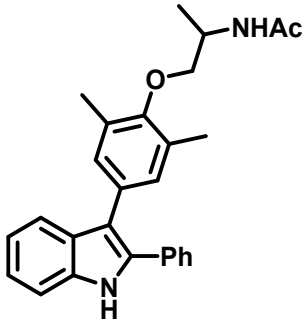
methyl 5-(2-phenyl-1H-indol-3-yl)-4-((3-(trifluoromethyl)phenyl)amino)nicotinate (25), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (18.5 mg, 38% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 16 : 1).

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.43 (s, 1H), 8.44 (dd, *J* = 13.1, 2.4 Hz, 2H), 8.38 (s, 1H), 8.09 (s, 1H), 7.98 – 7.96 (m, 1H), 7.66 – 7.63 (m, 1H), 7.50 – 7.45 (m, 4H), 7.43 – 7.38 (m, 2H), 7.37 – 7.29 (m, 3H), 7.24 – 7.20 (m, 1H), 3.93 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 154.6, 154.5, 141.8, 140.9, 136.3, 135.2, 132.6, 131.7 (q, *J* = 31.9 Hz), 129.7, 129.5, 129.2, 128.5, 128.5, 127.4 (q, *J* = 270.7 Hz), 123.8, 123.8, 123.5, 122.1, 121.2, 119.5, 119.3 (q, *J* = 3.9 Hz), 117.4 (q, *J* = 3.79 Hz), 111.5, 110.9, 107.8, 52.9.^{19}F NMR (376 MHz, $CDCl_3$) δ -62.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. For $C_{28}H_{.21}O_2N_3F_3$ 488.1580 found: 488.1588.



5-methyl-5-(4-phenoxyphenyl)-3-((4-(2-phenyl-1H-indol-3 yl)phenyl)amino)oxazolidine-2,4-dione (26), The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (29.3 mg, 52% yield) as a white solid. R_f = 0.4 (eluted with petroleum ether : EtOAc = 20 : 1).

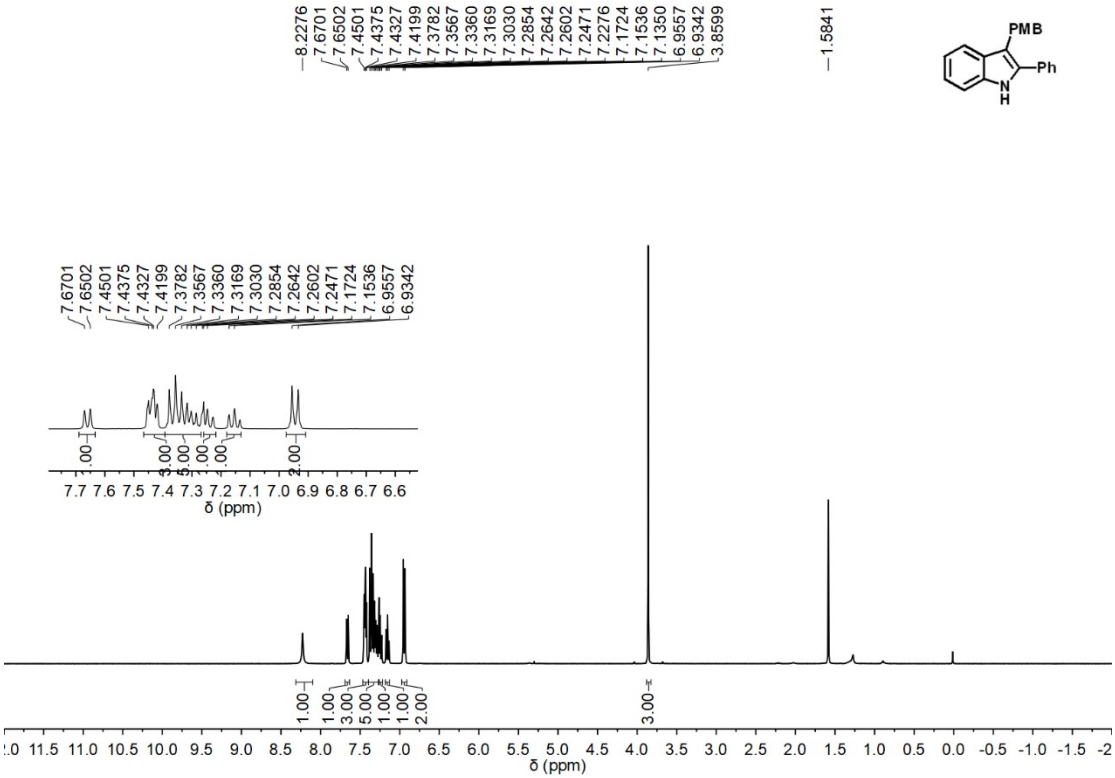
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.52 (m, 2H), 7.41 – 7.33 (m, 5H), 7.32 – 7.28 (m, 4H), 7.24 (dd, *J* = 5.1, 2.3 Hz, 1H), 7.23 – 7.18 (m, 1H), 7.16 – 7.10 (m, 2H), 7.04 – 7.00 (m, 4H), 6.76 – 6.72 (m, 2H), 6.15 (s, 1H), 1.99 (s, 3H).^{13}C NMR (125 MHz, $CDCl_3$) δ 172.5, 158.9, 156.6, 153.4, 142.9, 136.2, 134.3, 133.0, 131.6, 130.5, 130.4, 130.3, 129.1, 129.1, 128.5, 128.1, 126.5, 124.5, 123.1, 120.8, 119.9, 119.0, 115.0, 114.5, 111.3, 85.5, 25.9. HRMS (ESI–TOF) m/z [M + H]+ calcd. For $C_{36}H_{.28}O_4N_3$ 566.2074 found: 566.2079.



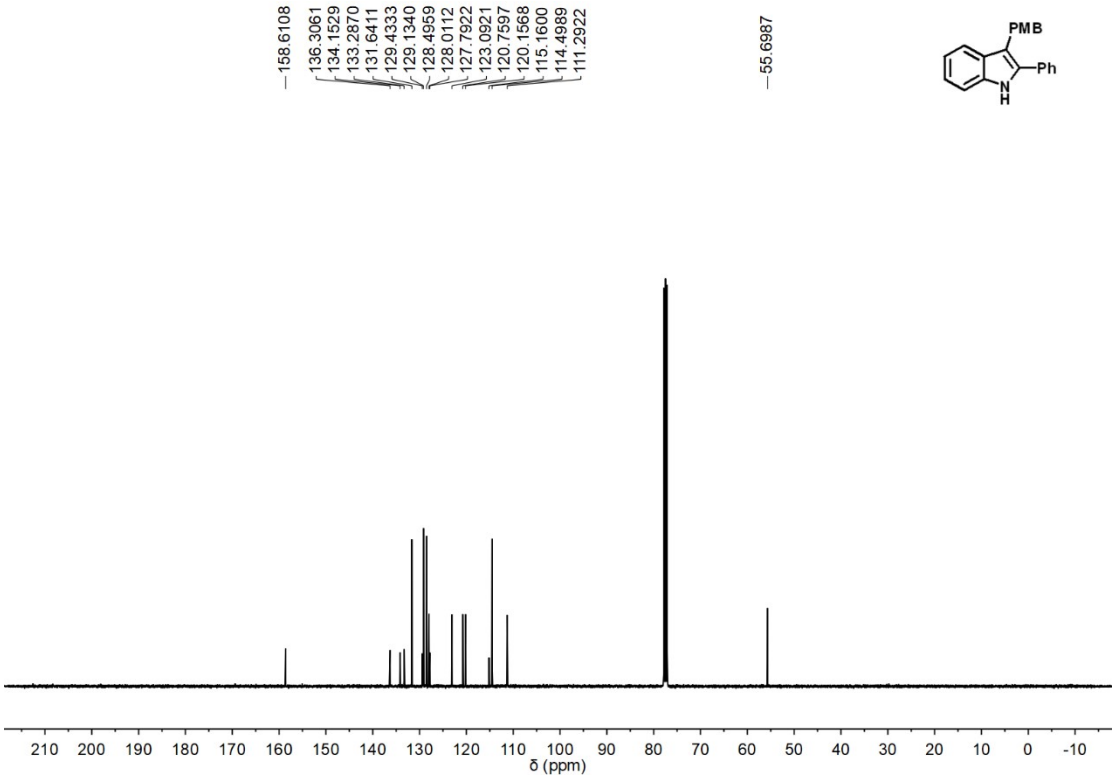
***N*-(1-(2,6-dimethyl-4-(2-phenyl-1H-indol-3-yl)phenoxy)propan-2-yl)acetamide (27),** The compound was prepared by general procedure G. The crude product was purified by silica gel to give the target product (23.8 mg, 58% yield) as a white solid. R_f = 0.3 (eluted with petroleum ether : EtOAc = 16 : 1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.64 (dd, *J* = 7.9, 1.0 Hz, 1H), 7.48 – 7.39 (m, 3H), 7.35 – 7.26 (m, 3H), 7.25 – 7.21 (m, 1H), 7.17 – 7.12 (m, 1H), 7.07 (s, 2H), 5.94 (d, *J* = 8.4 Hz, 1H), 4.41 – 4.34 (m, 1H), 3.87 (dd, *J* = 9.1, 3.9 Hz, 1H), 3.78 (dd, *J* = 9.1, 3.1 Hz, 1H), 2.24 (s, 6H), 2.04 (s, 3H), 1.43 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 169.9, 153.7, 136.3, 134.2, 133.2, 131.3, 131.1, 131.1, 129.3, 129.0, 128.4, 127.9, 123.0, 120.7, 120.1, 115.0, 111.2, 74.3, 45.9, 23.9, 18.2, 16.6. HRMS (ESI–TOF) m/z [M + H]+ calcd. For $C_{27}H_{29}O_2N_2$ 413.2224 found: 413.2228.

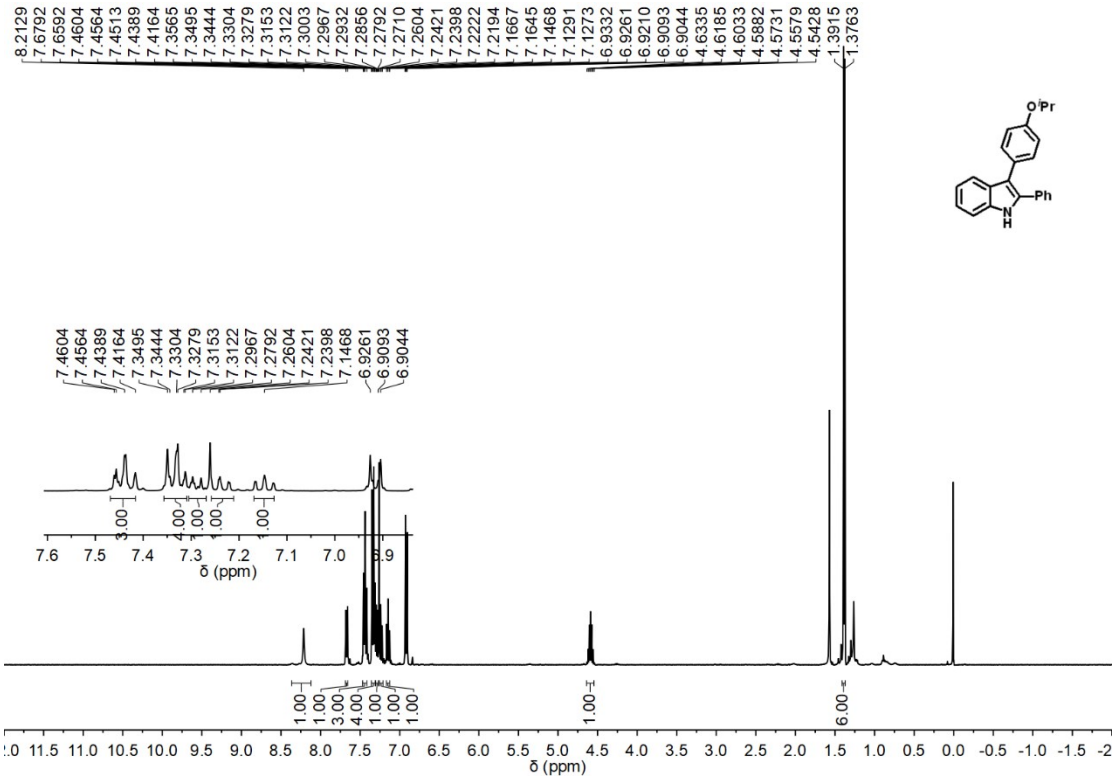
10. NMR spectra of the Indole And Pyrrole Products



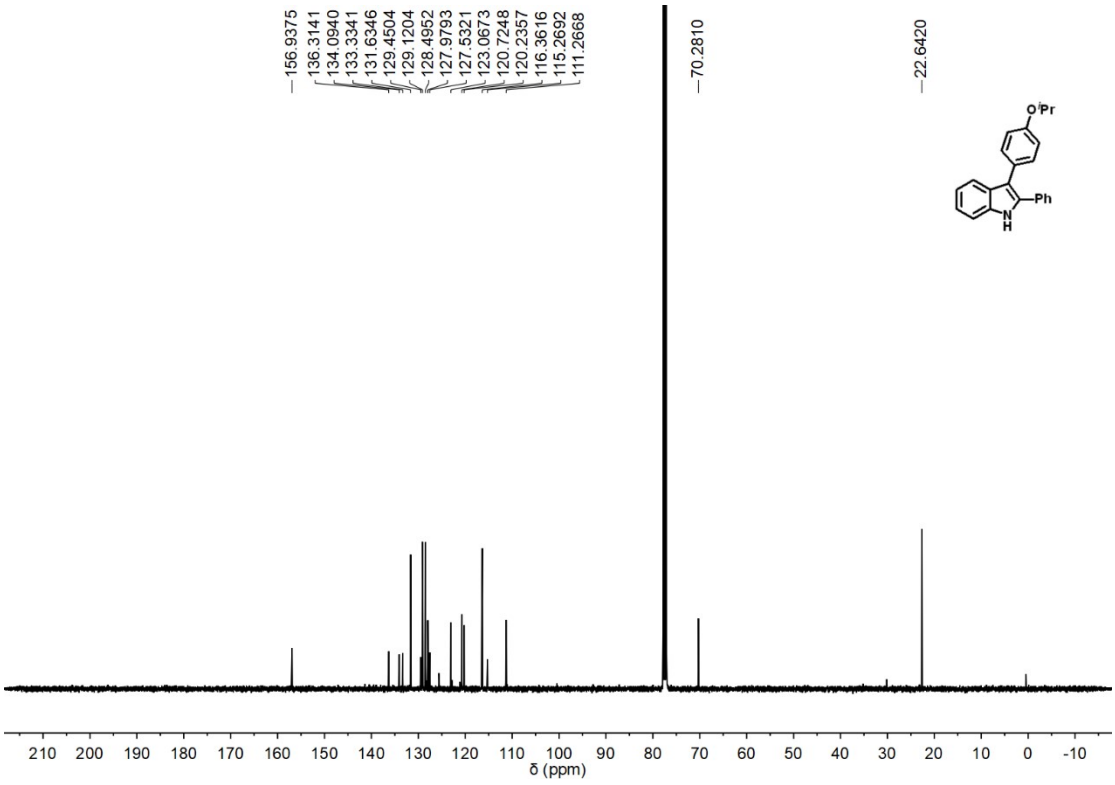
^{1}H NMR of **3aa** (400 MHz, $CDCl_3$)



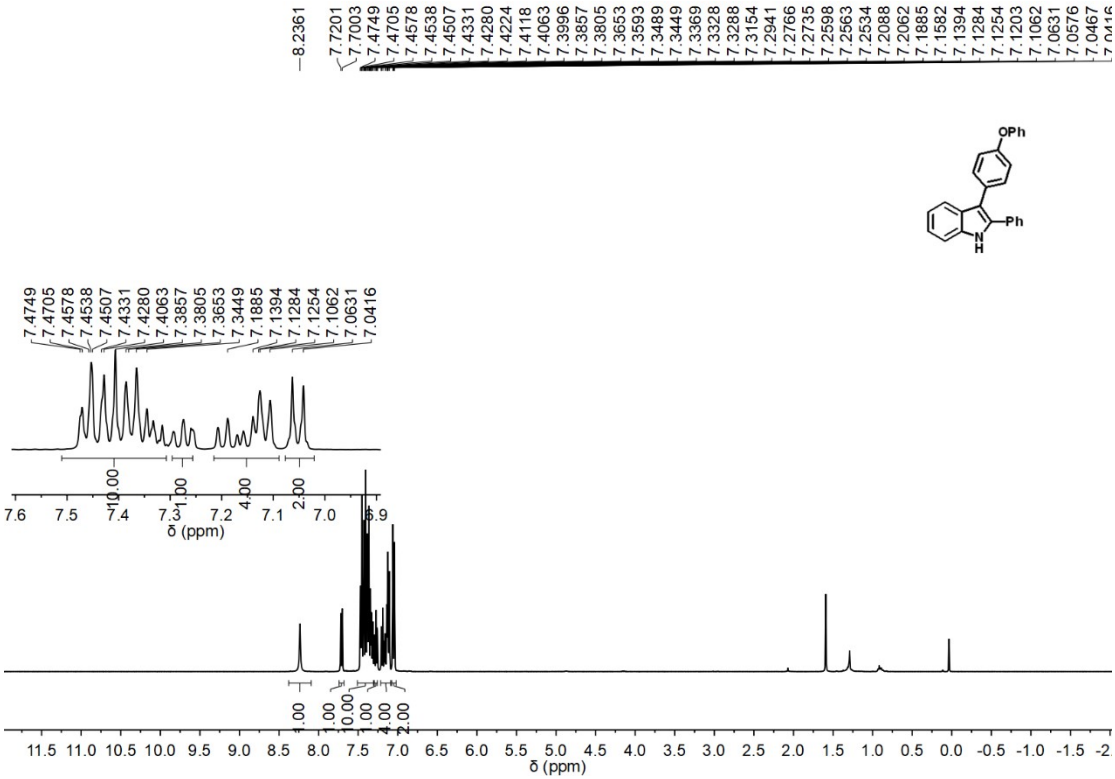
^{13}C NMR of **3aa** (100 MHz, $CDCl_3$)



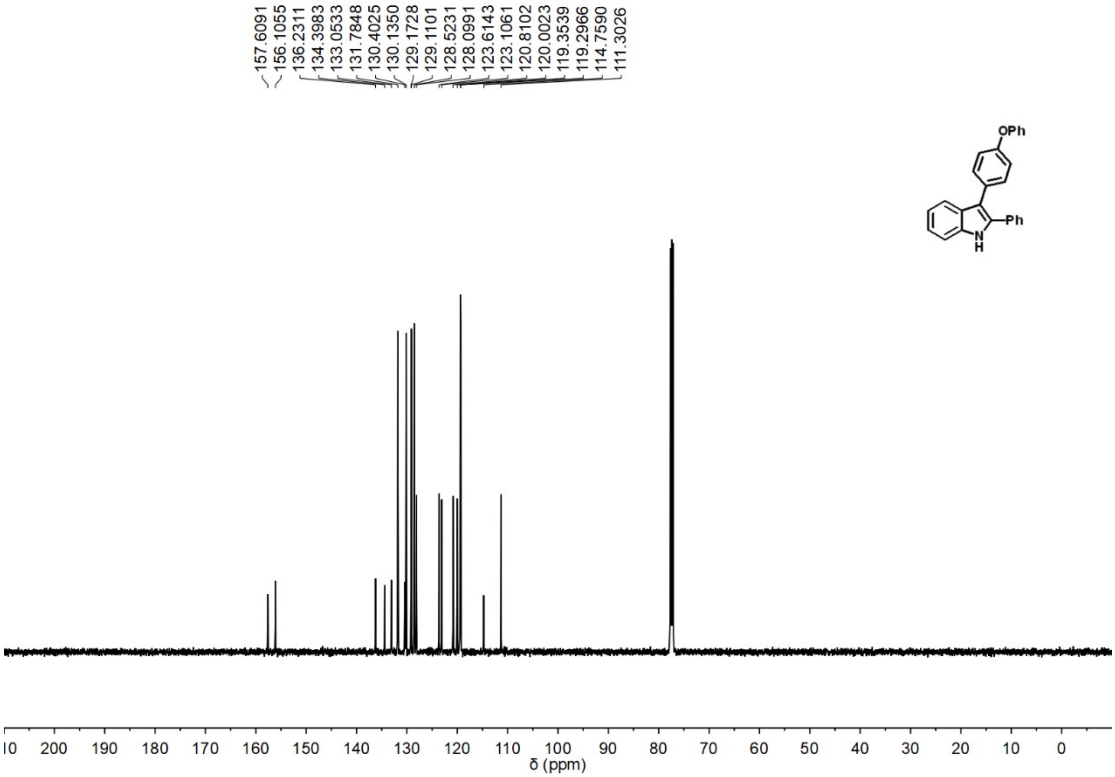
^{1}H NMR of **3ba** (400 MHz, $CDCl_3$)



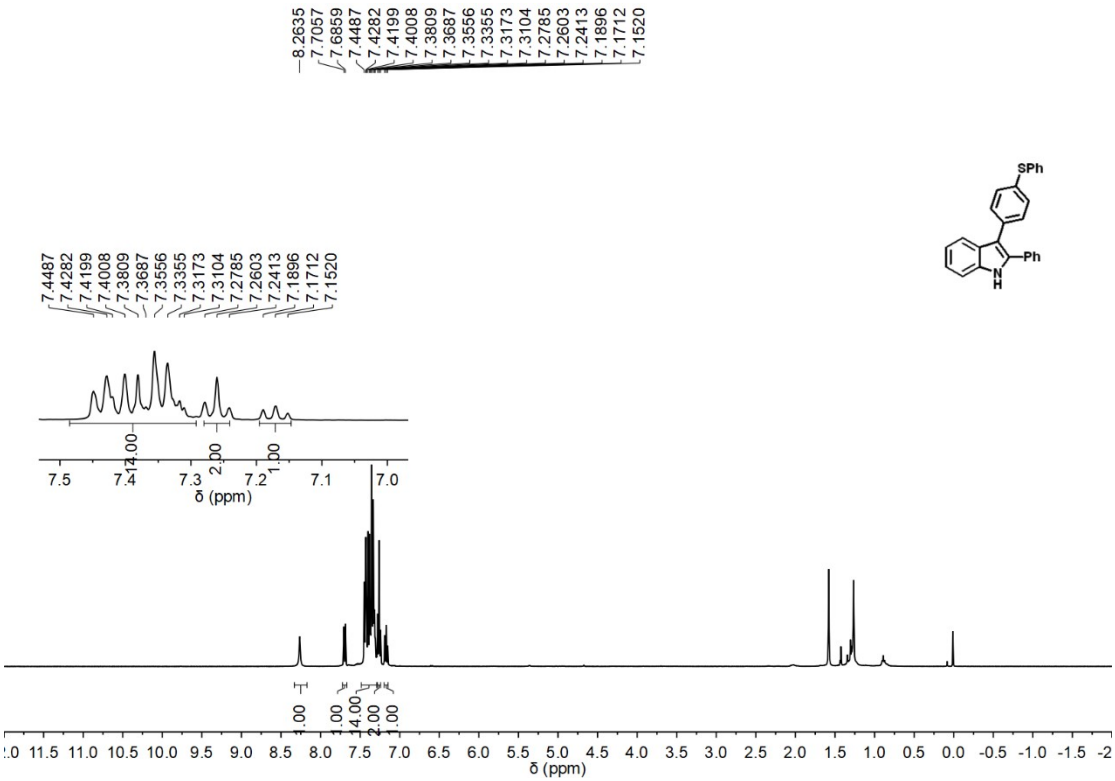
^{13}C NMR of **3ba** (100 MHz, $CDCl_3$)



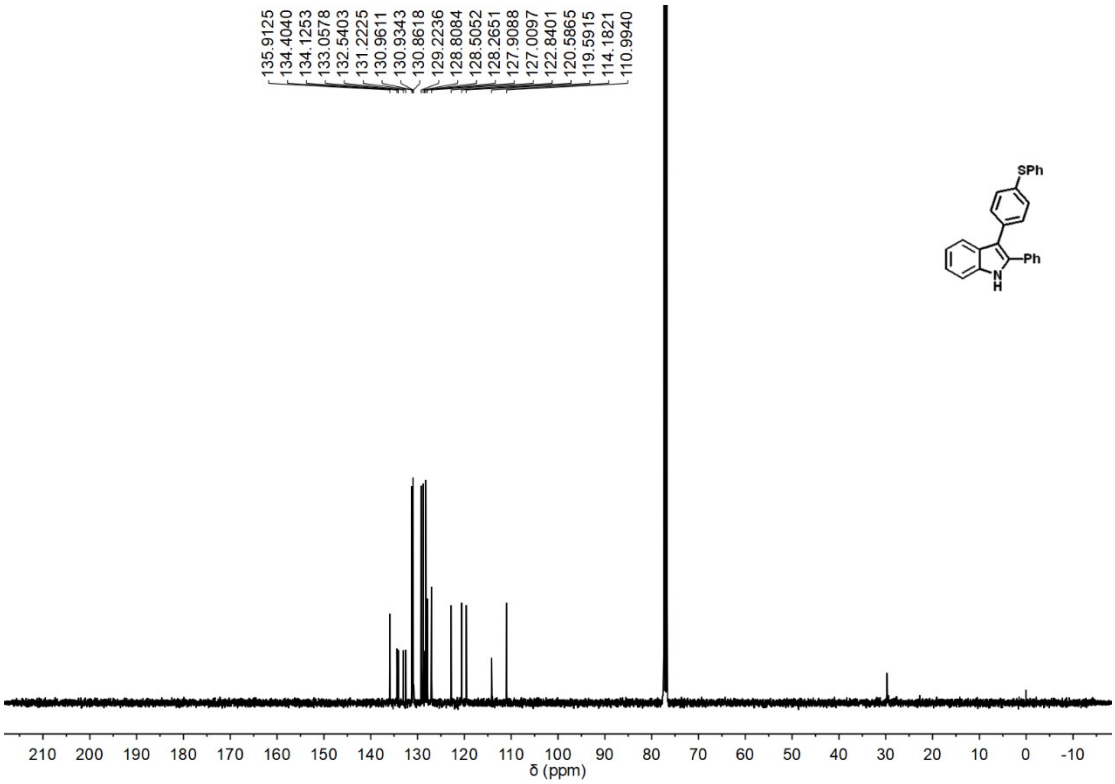
^{1}H NMR of **3ca** (400 MHz, $CDCl_3$)



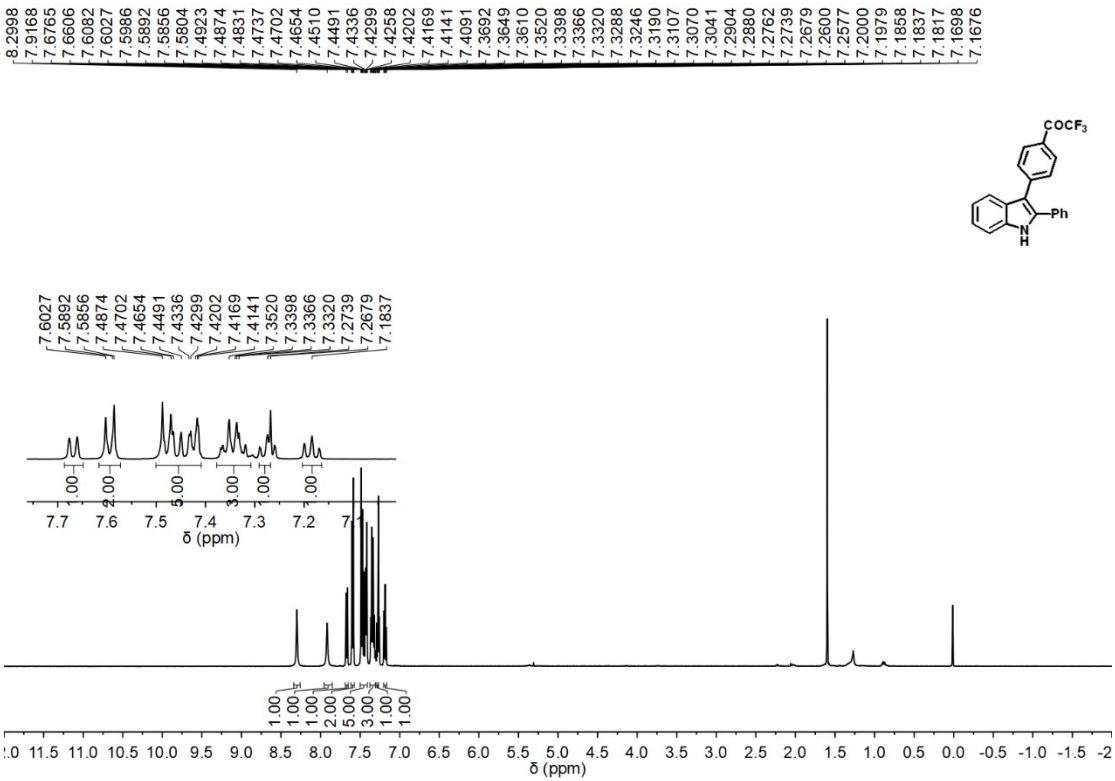
^{13}C NMR of **3ca** (125 MHz, $CDCl_3$)



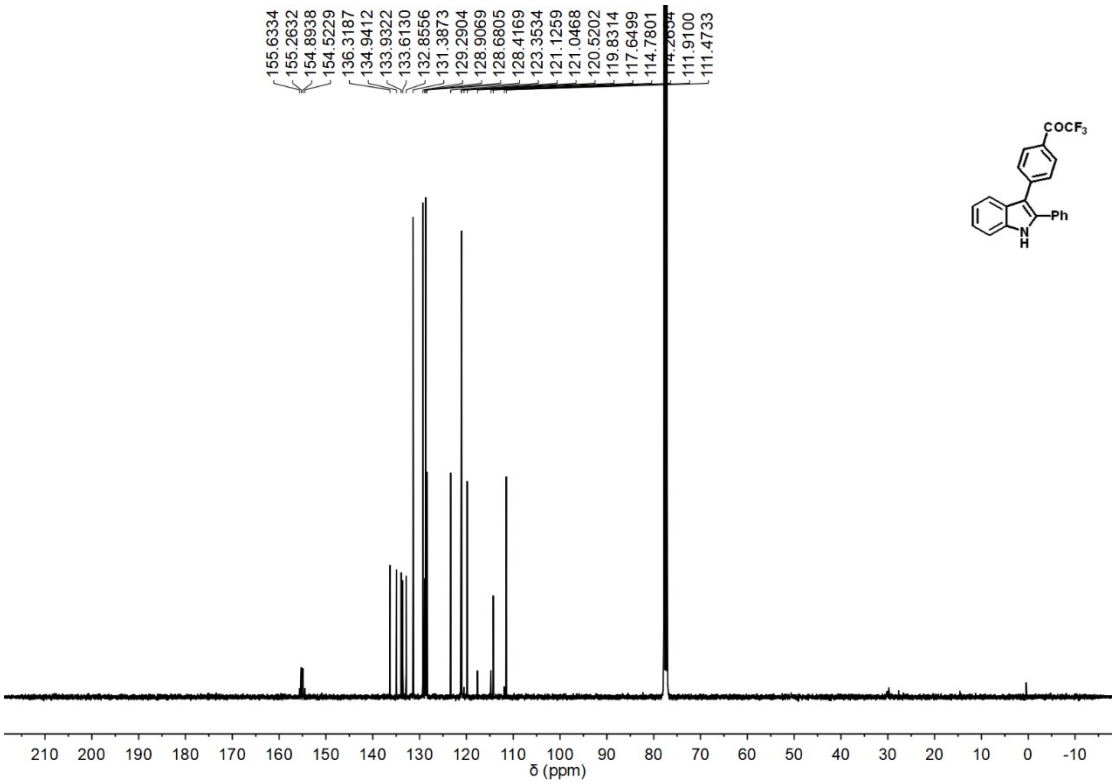
^{1}H NMR of **3da** (400 MHz, $CDCl_3$)



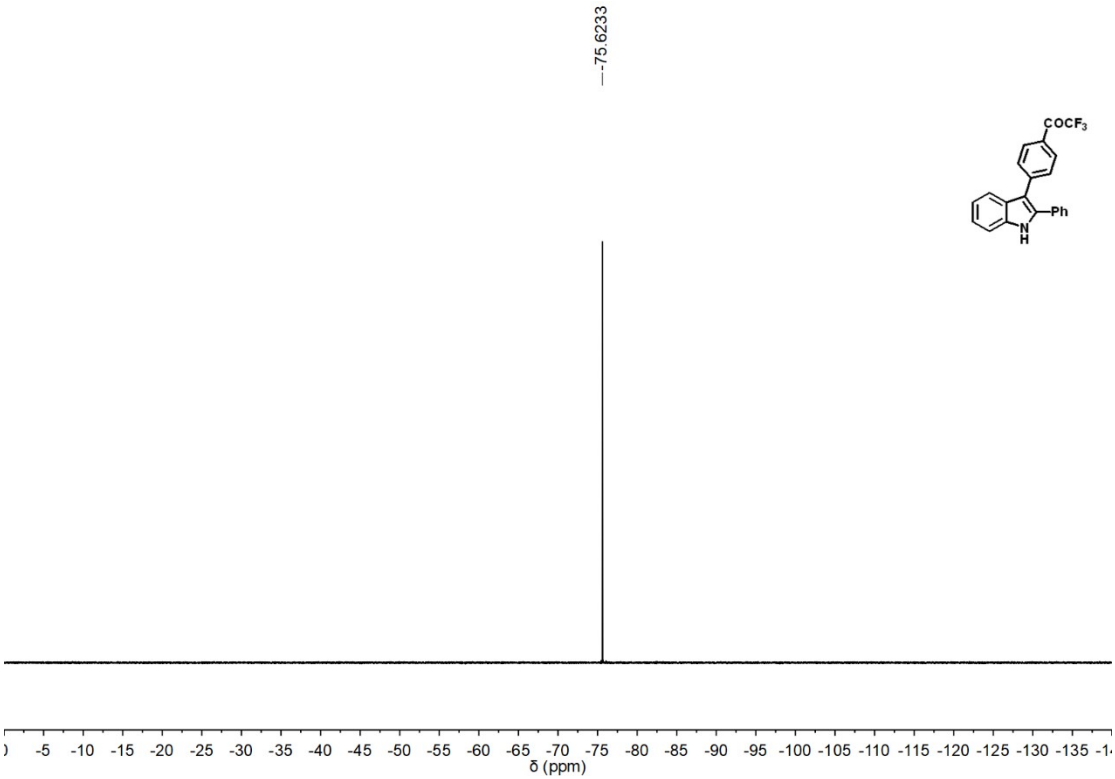
^{13}C NMR of **3da** (100 MHz, $CDCl_3$)



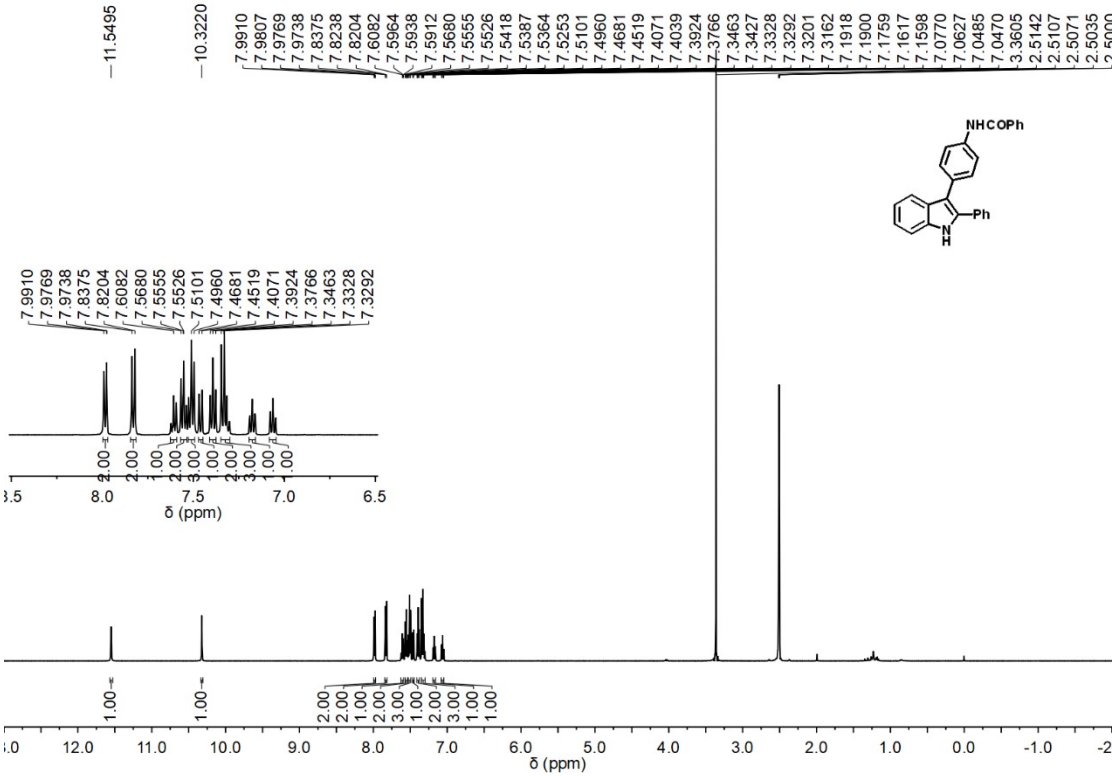
^{1}H NMR of **3ea** (500 MHz, $CDCl_3$)



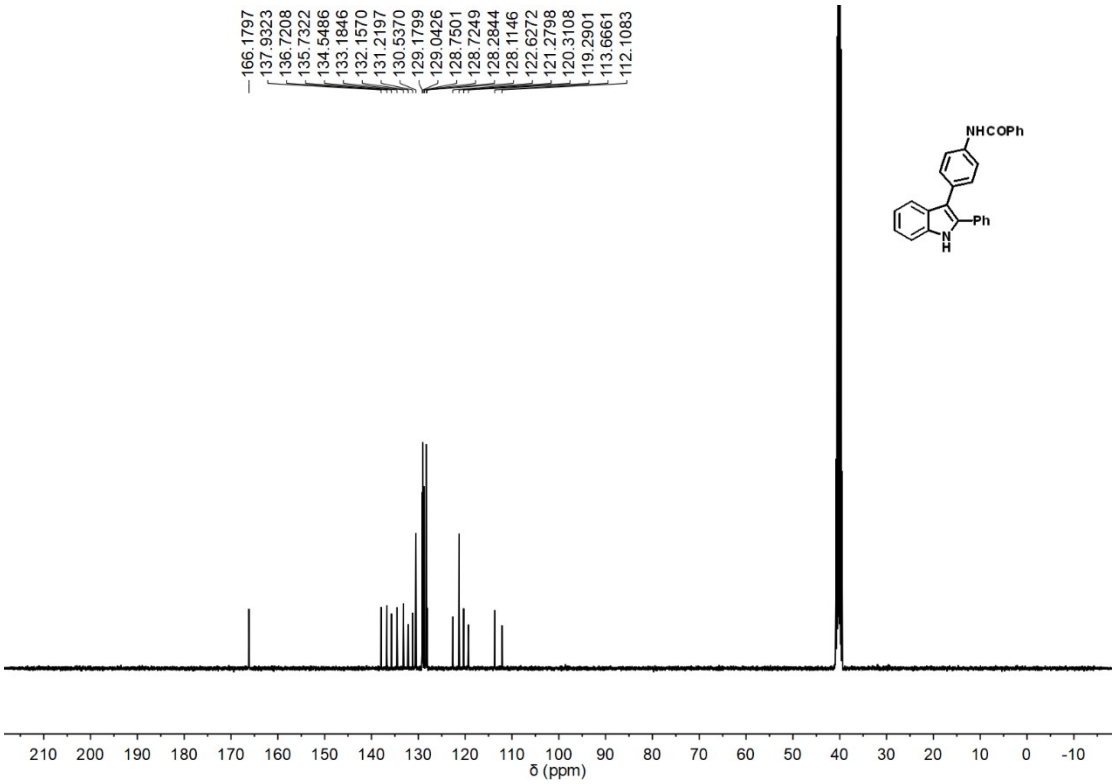
^{13}C NMR of **3ea** (100 MHz, $CDCl_3$)



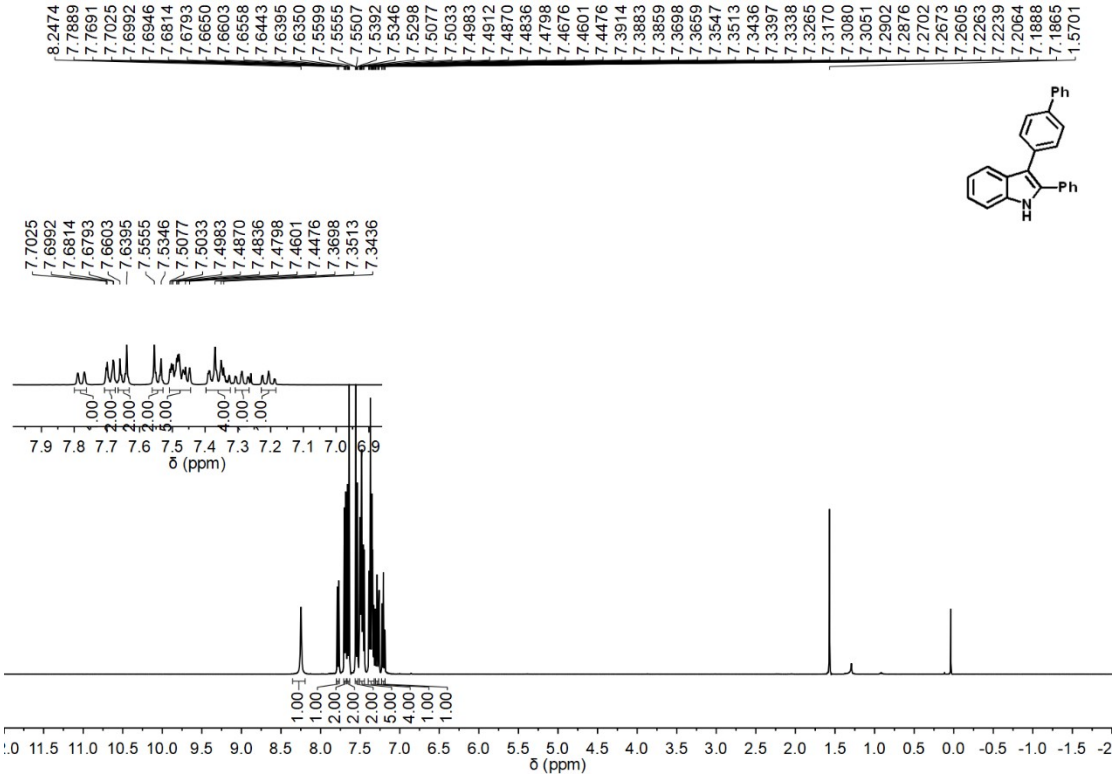
^{19}F NMR of **3ea** (471 MHz, $CDCl_3$)



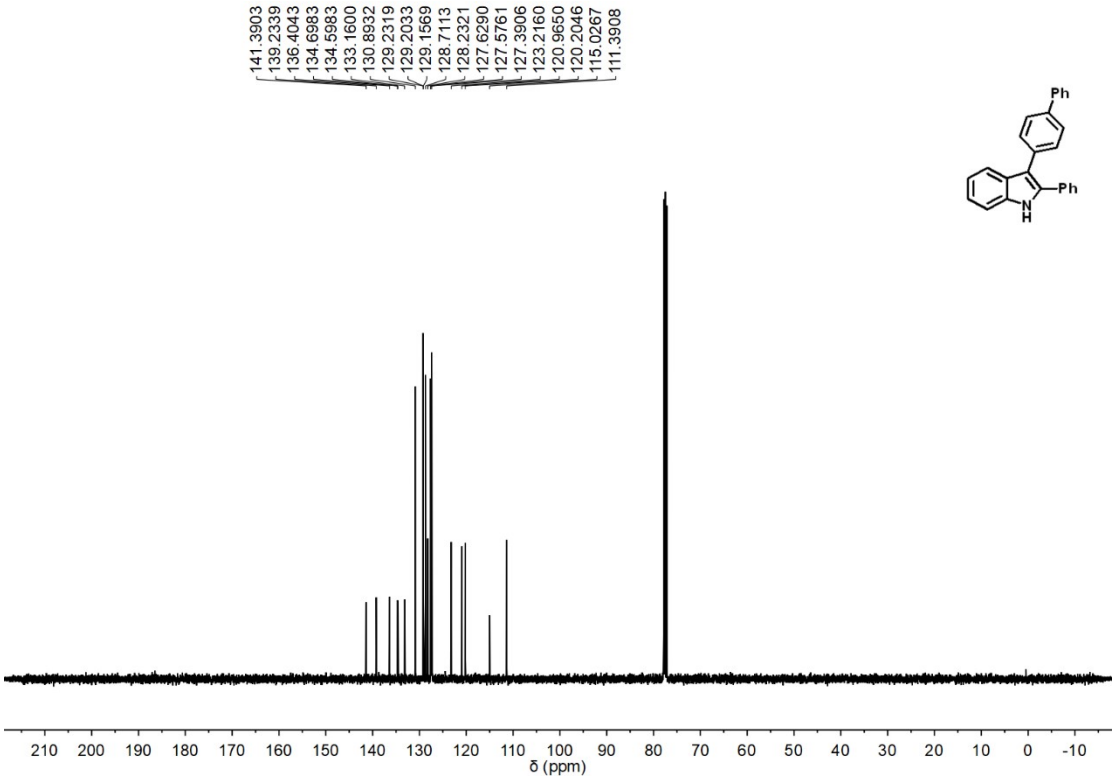
^{1}H NMR of **3fa** (500 MHz, DMSO-d_6)



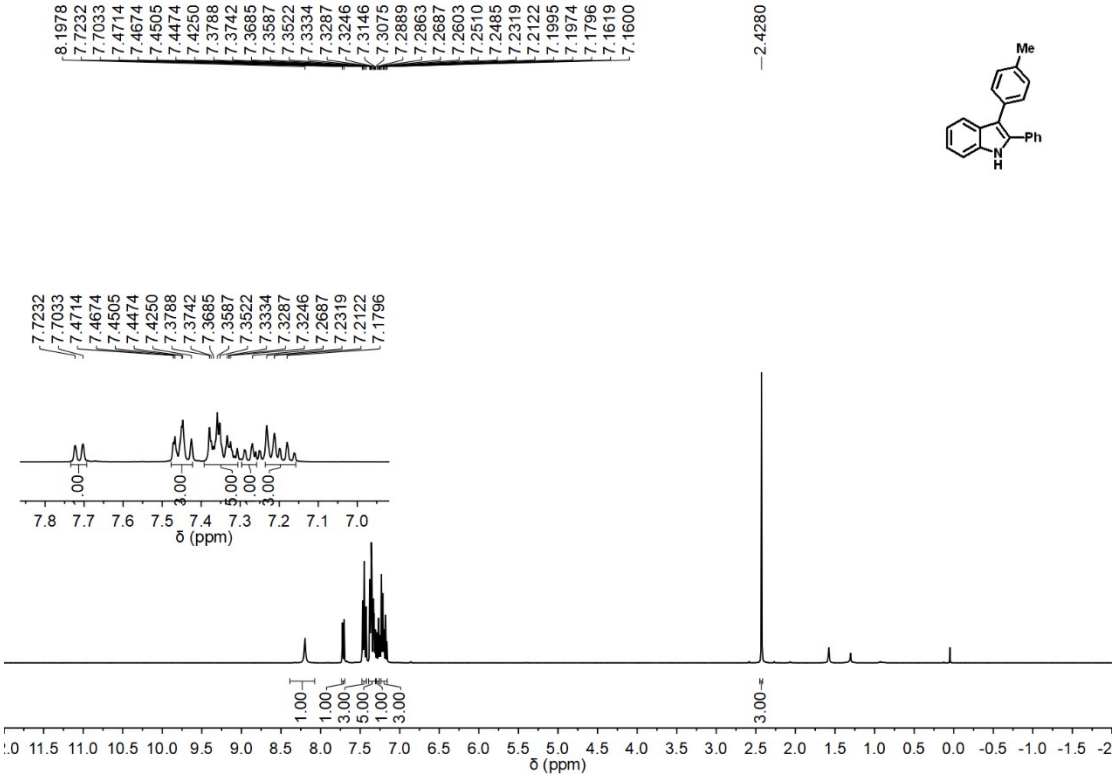
^{13}C NMR of **3fa** (100 MHz, DMSO-d_6)



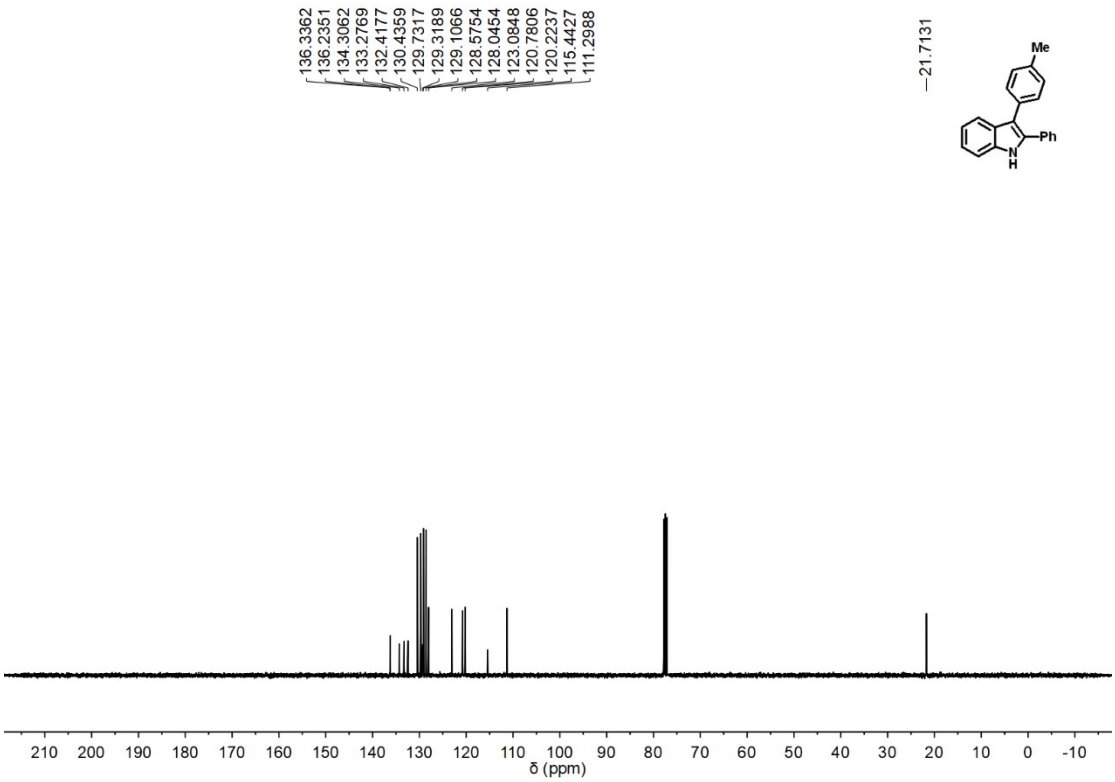
^{1}H NMR of **3ga** (400 MHz, $CDCl_3$)



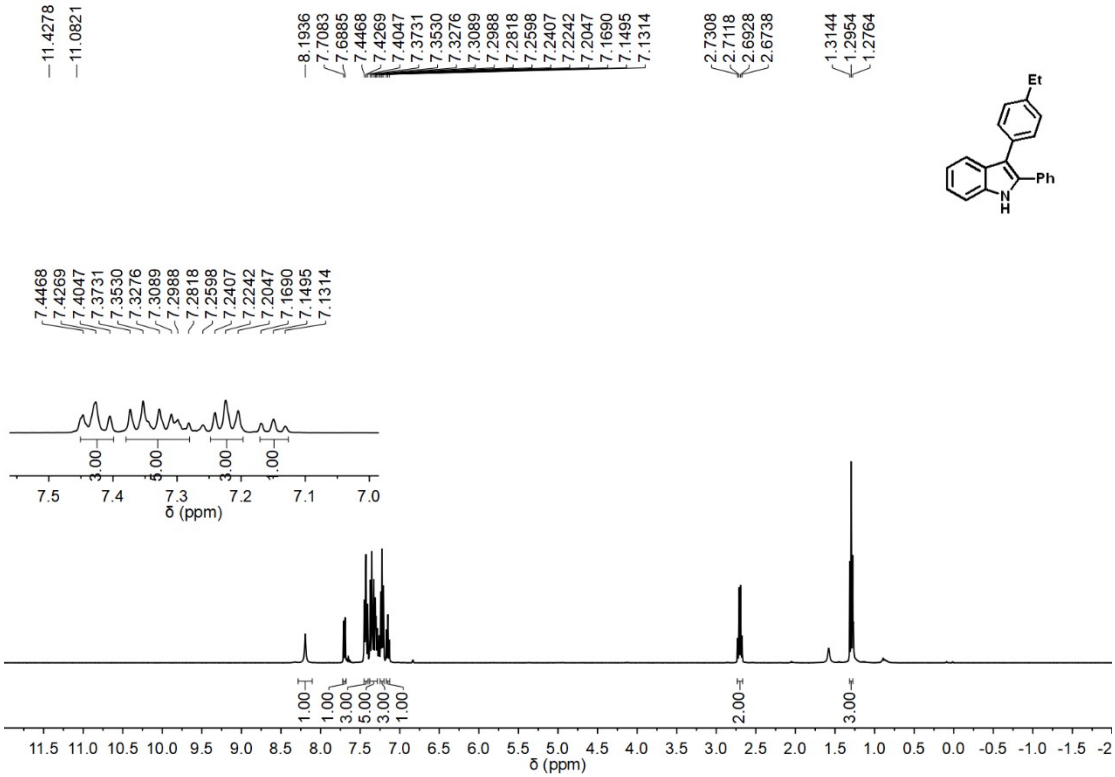
^{13}C NMR of **3ga** (100 MHz, $CDCl_3$)



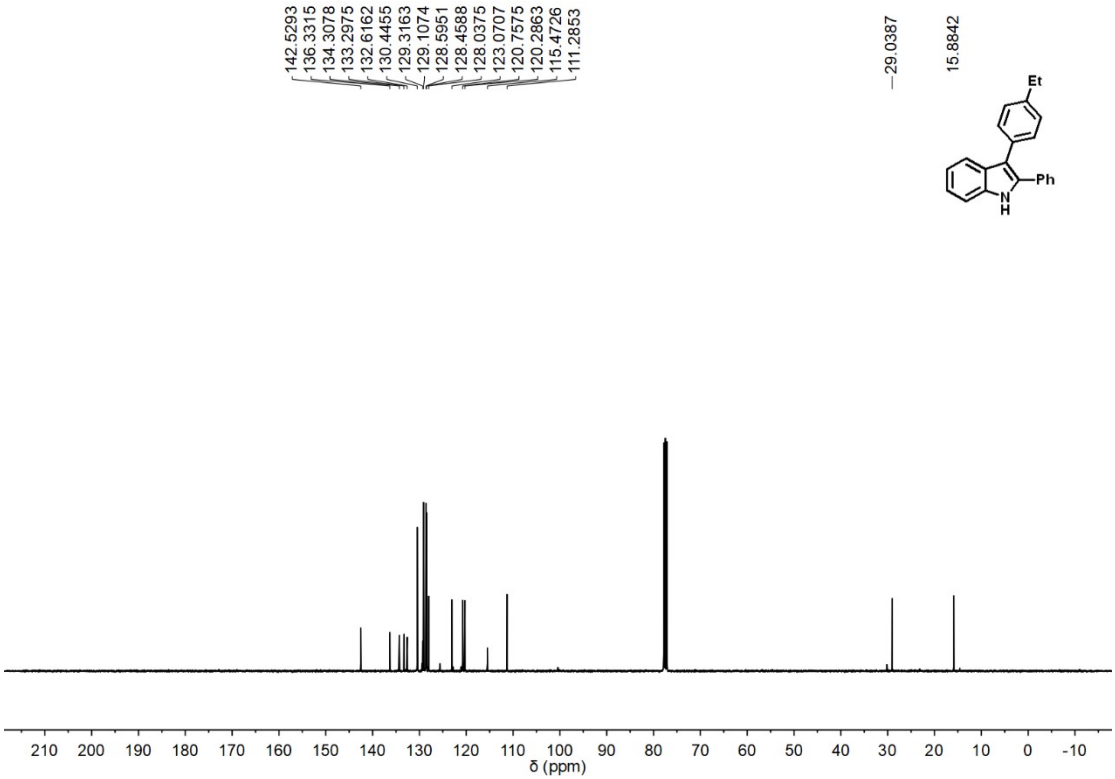
^{1}H NMR of **3ha** (400 MHz, $CDCl_3$)



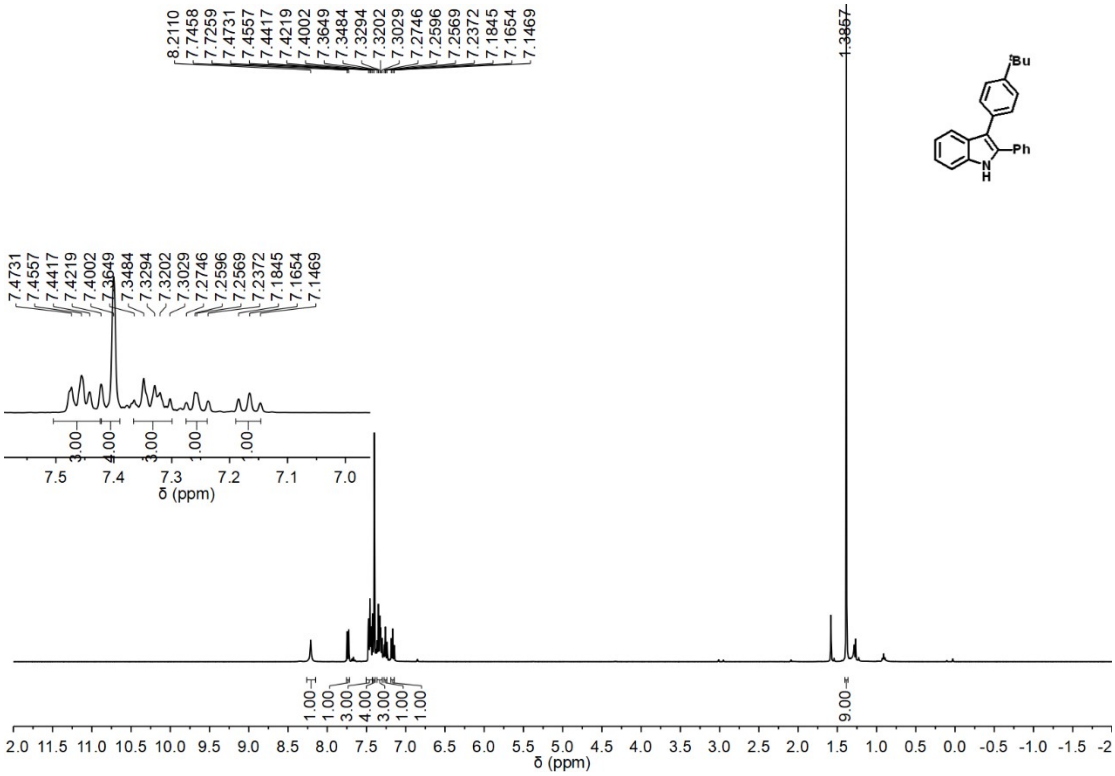
^{13}C NMR of **3ha** (100 MHz, $CDCl_3$)



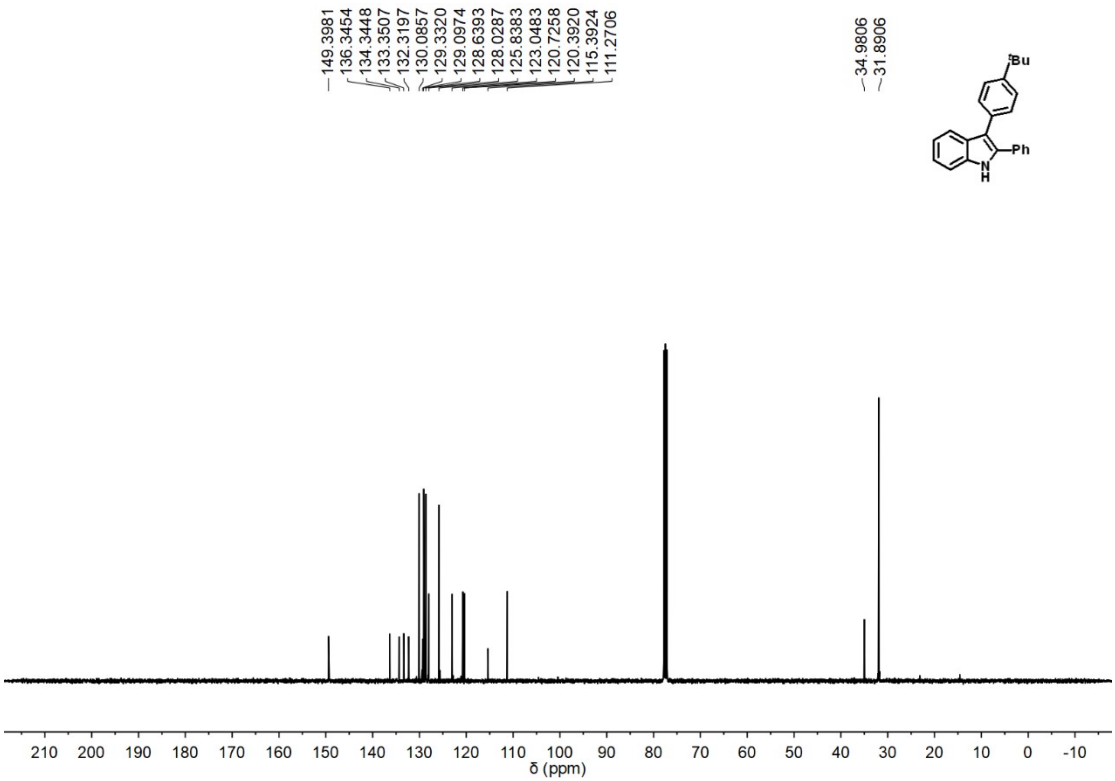
^{1}H NMR of **3ia** (400 MHz, $CDCl_3$)



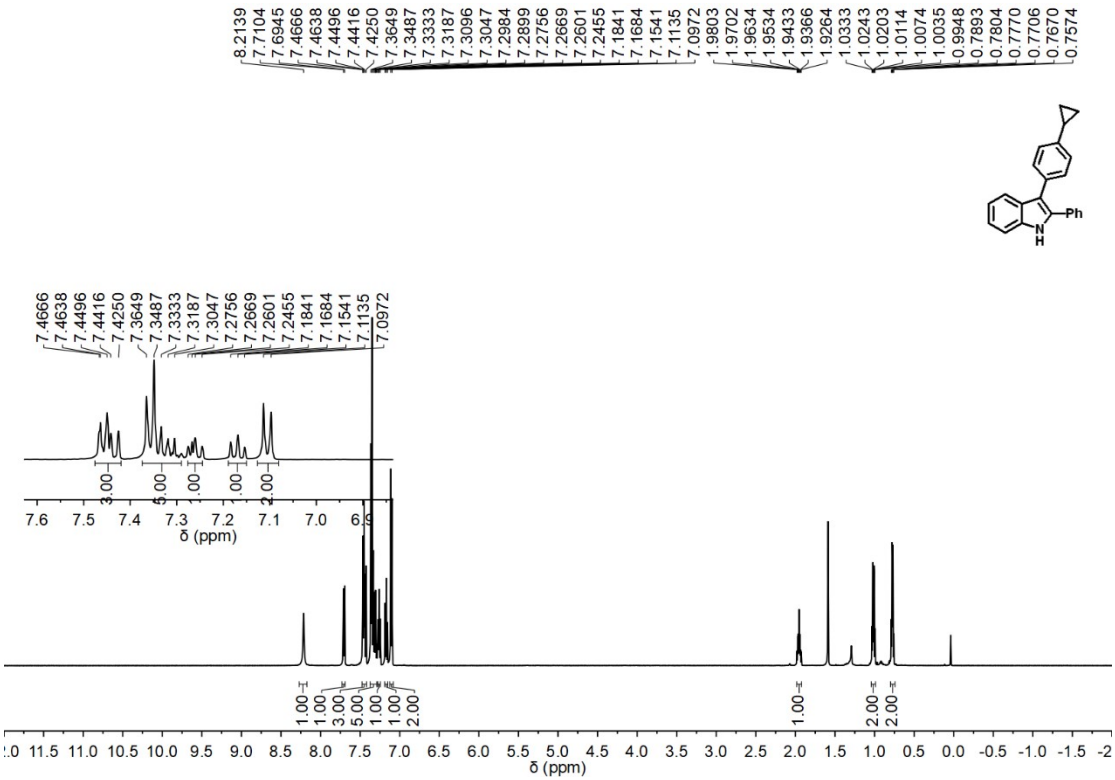
^{13}C NMR of **3ia** (100 MHz, $CDCl_3$)



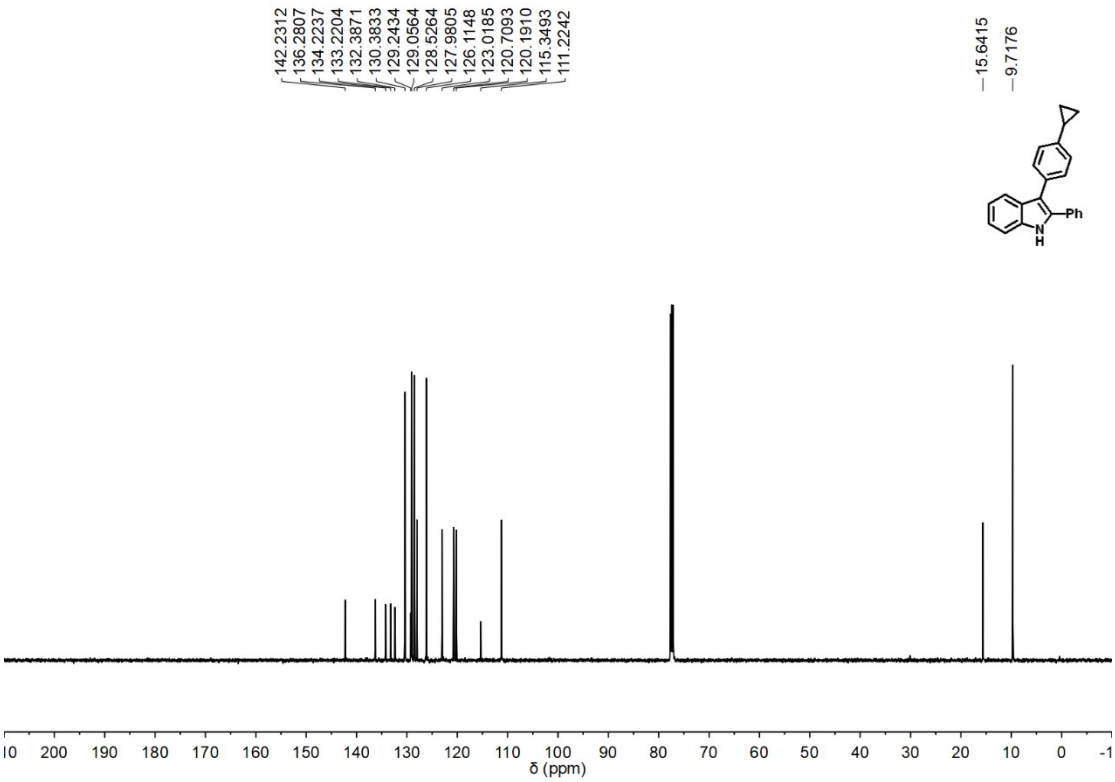
^{1}H NMR of **3ja** (400 MHz, $CDCl_3$)



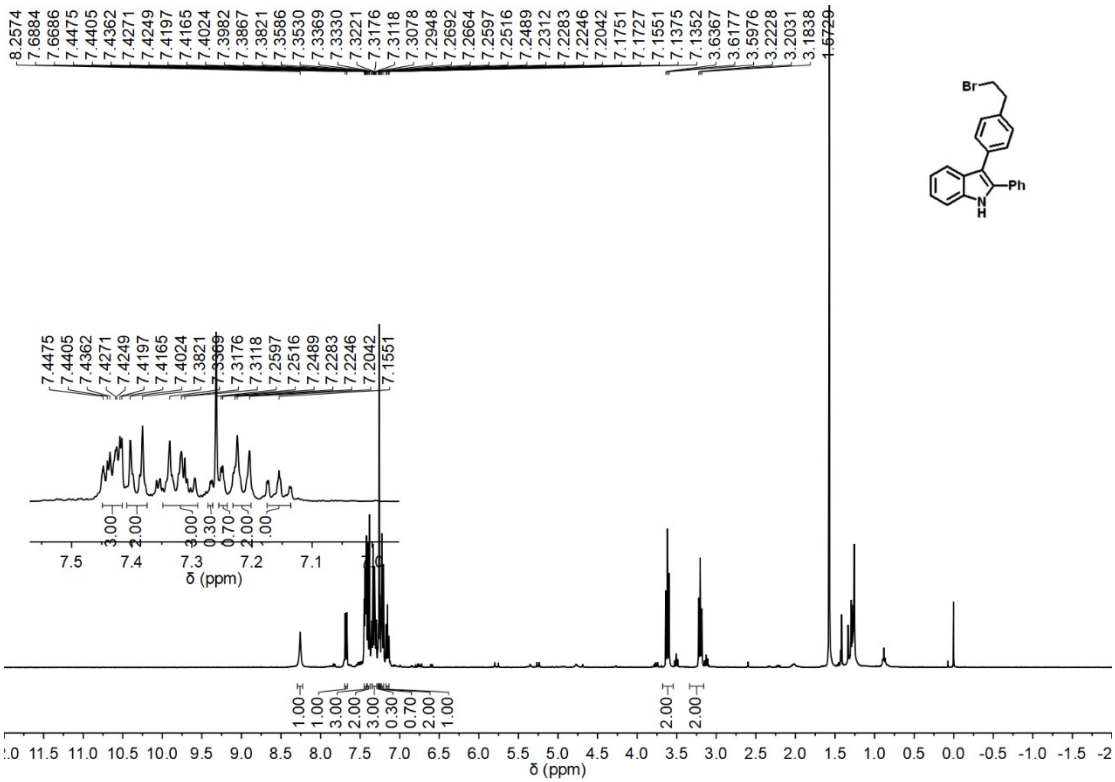
^{13}C NMR of **3ja** (100 MHz, $CDCl_3$)



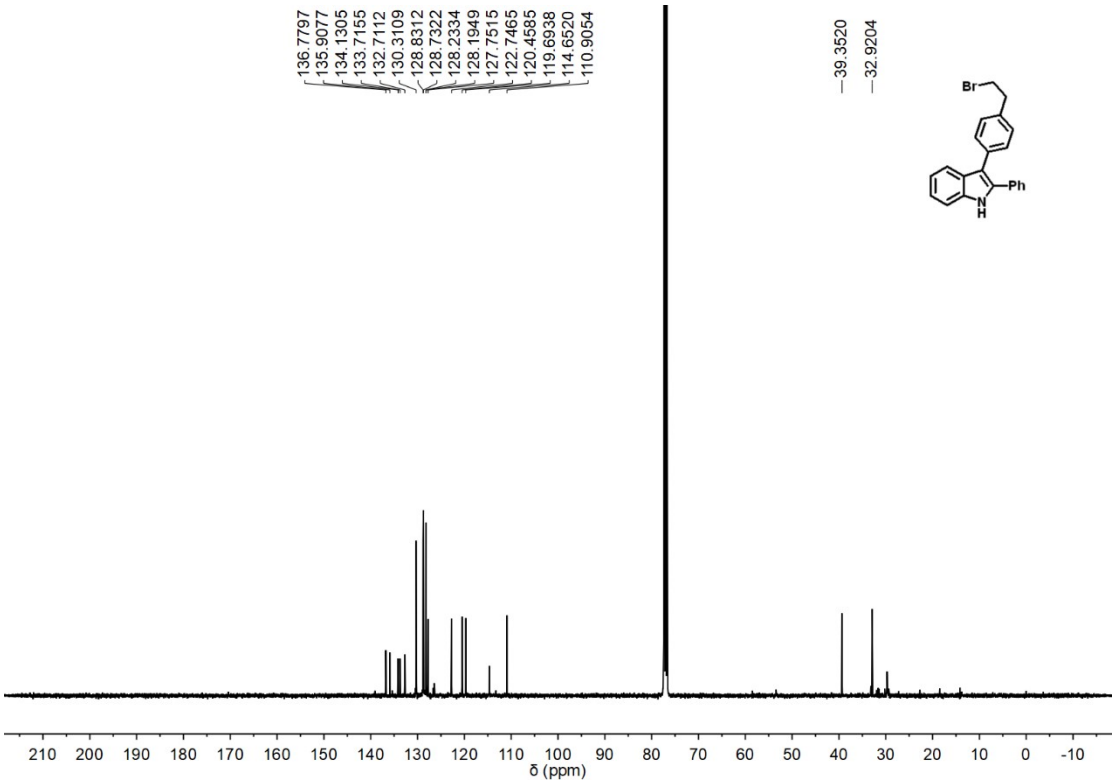
^{1}H NMR of **3ka** (500 MHz, $CDCl_3$)



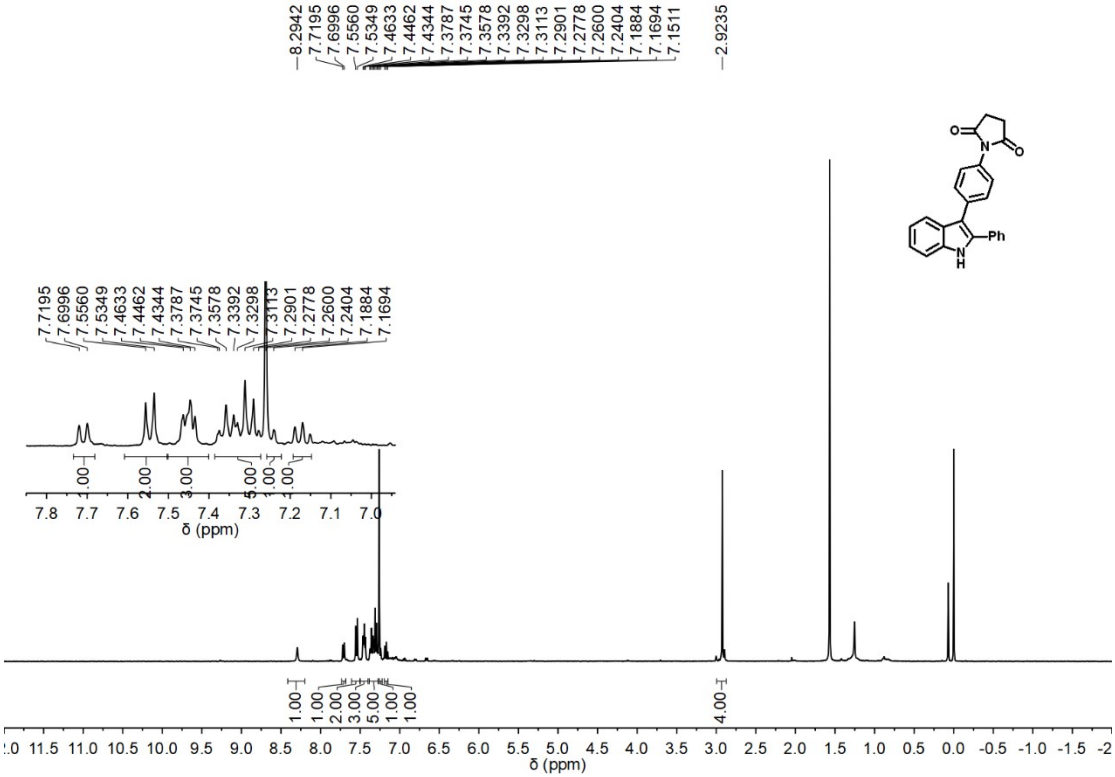
^{13}C NMR of **3ka** (125 MHz, $CDCl_3$)



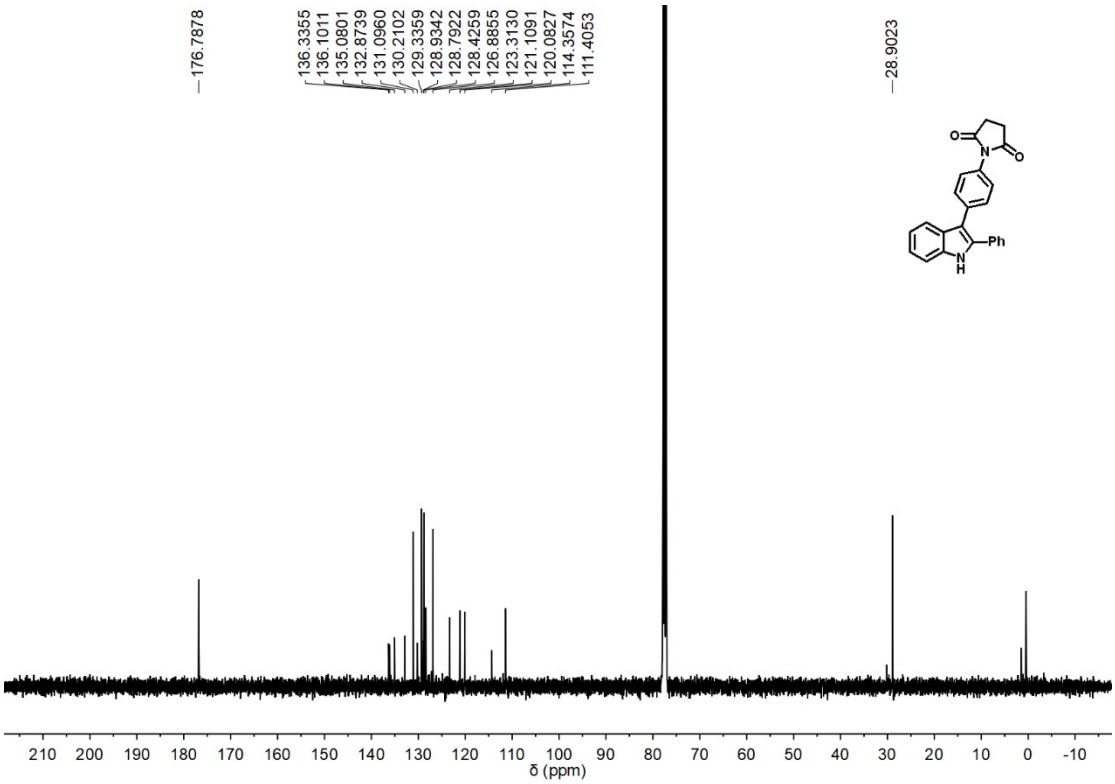
^{1}H NMR of **3la** (400 MHz, $CDCl_3$)



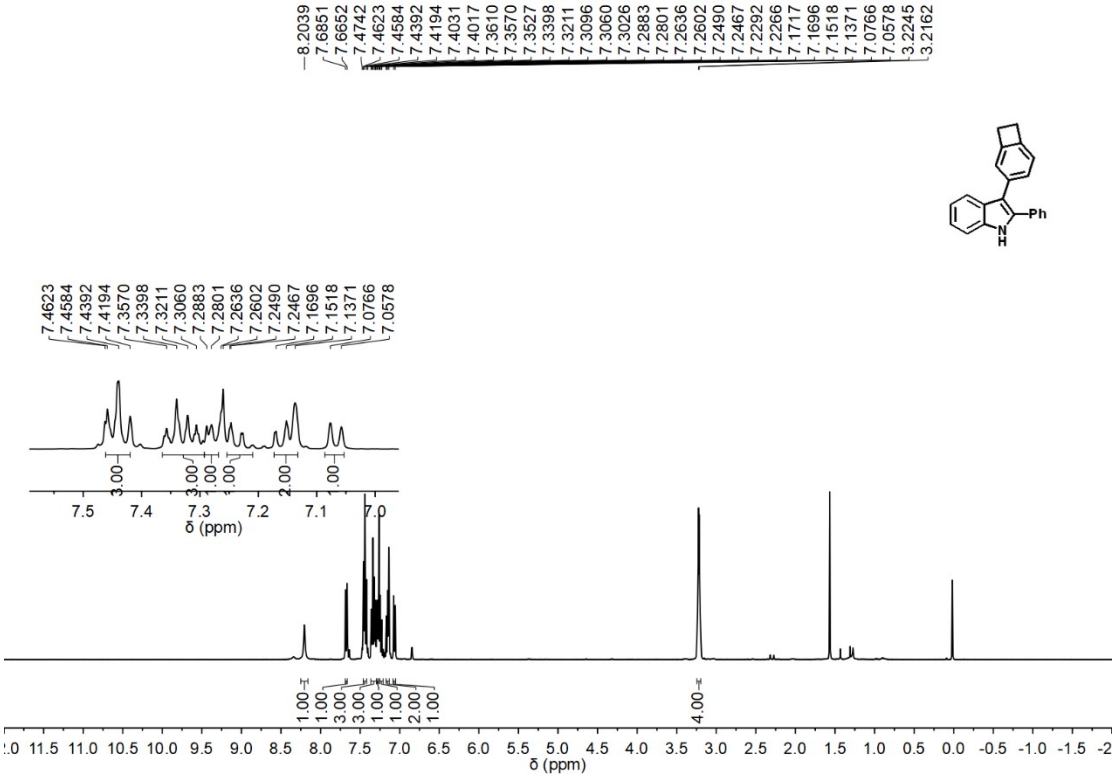
^{13}C NMR of **3la** (100 MHz, $CDCl_3$)



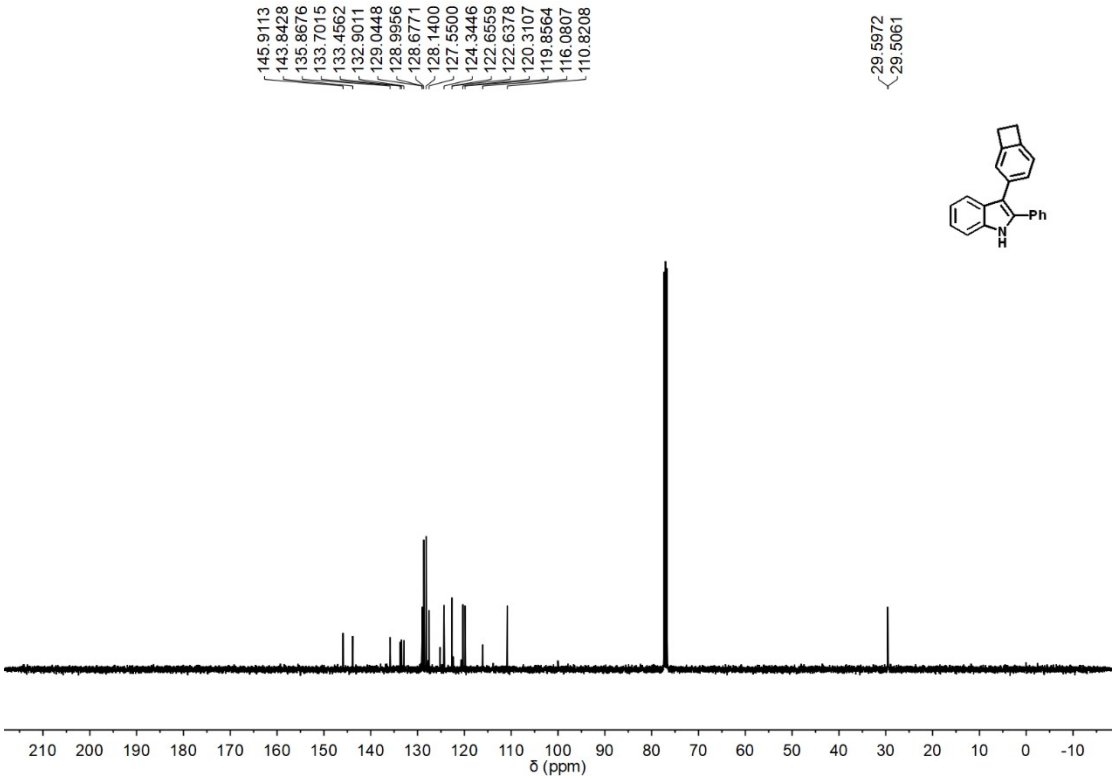
^{1}H NMR of **3ma** (400 MHz, $CDCl_3$)



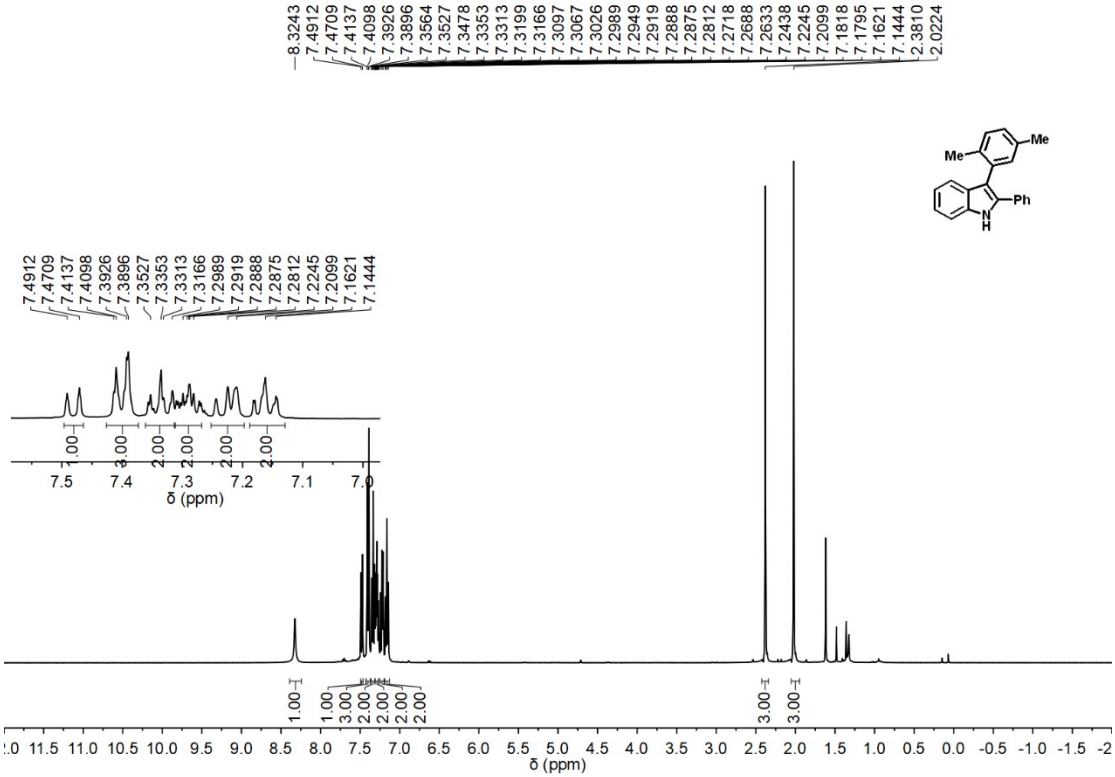
^{13}C NMR of **3ma** (100 MHz, $CDCl_3$)



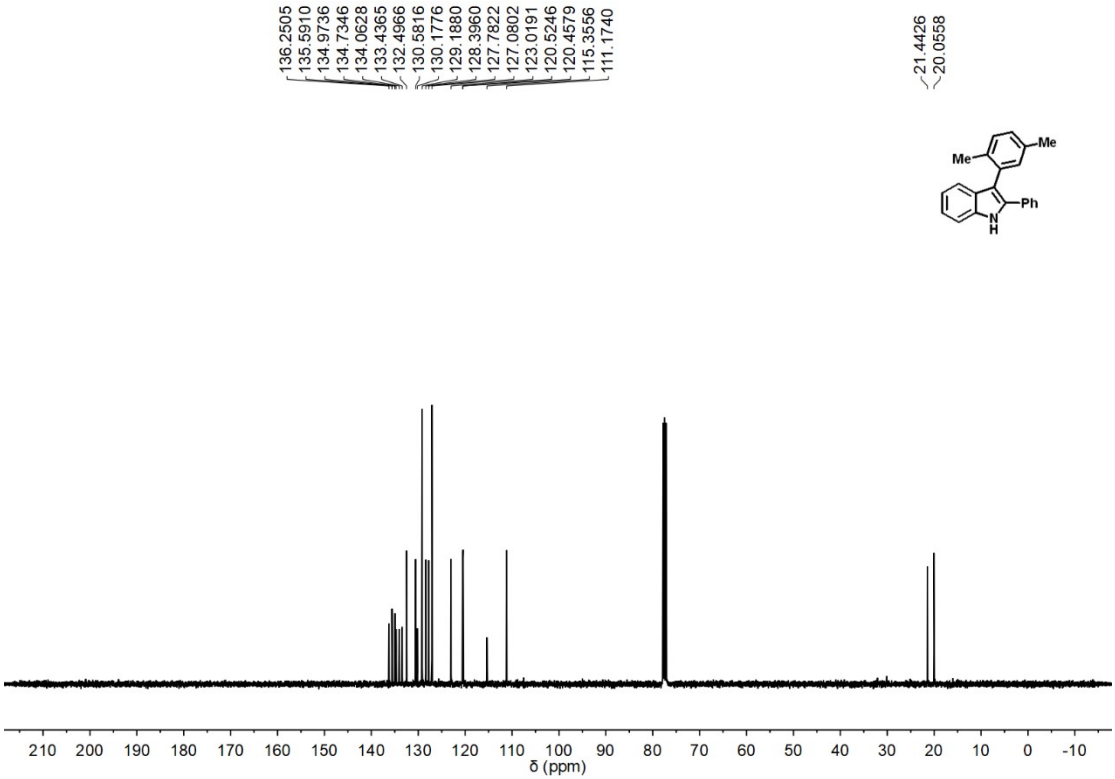
^{1}H NMR of **3na** (400 MHz, $CDCl_3$)



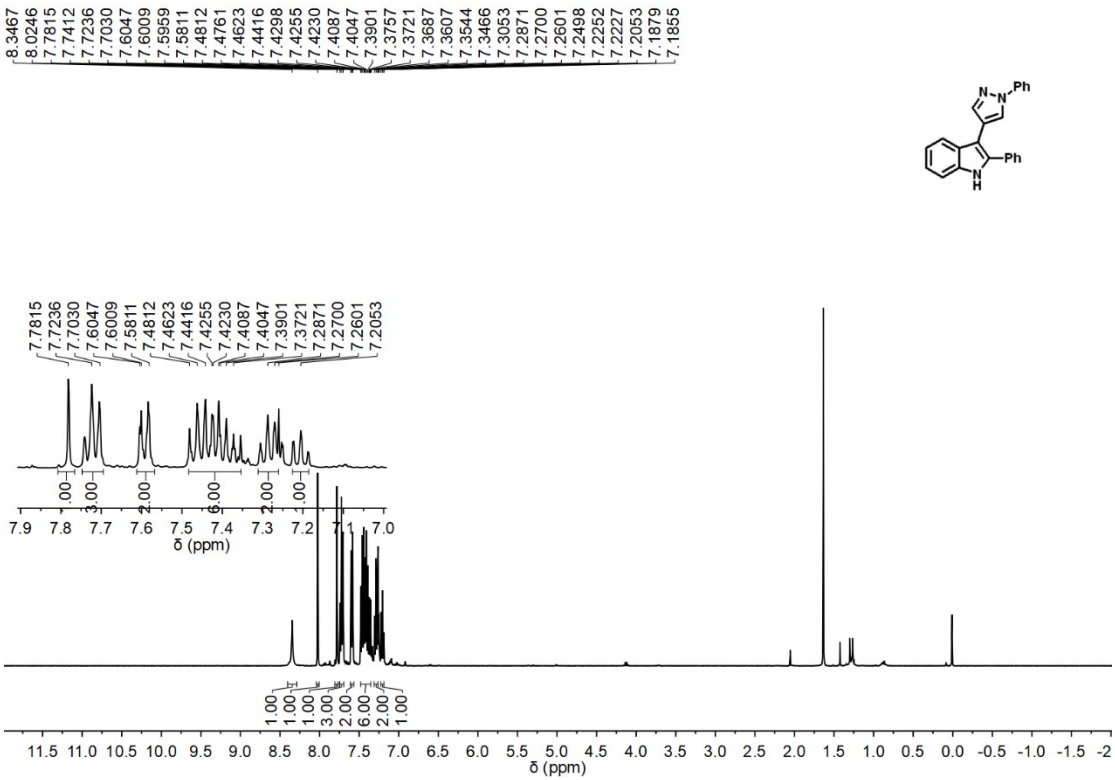
^{13}C NMR of **3na** (100 MHz, $CDCl_3$)



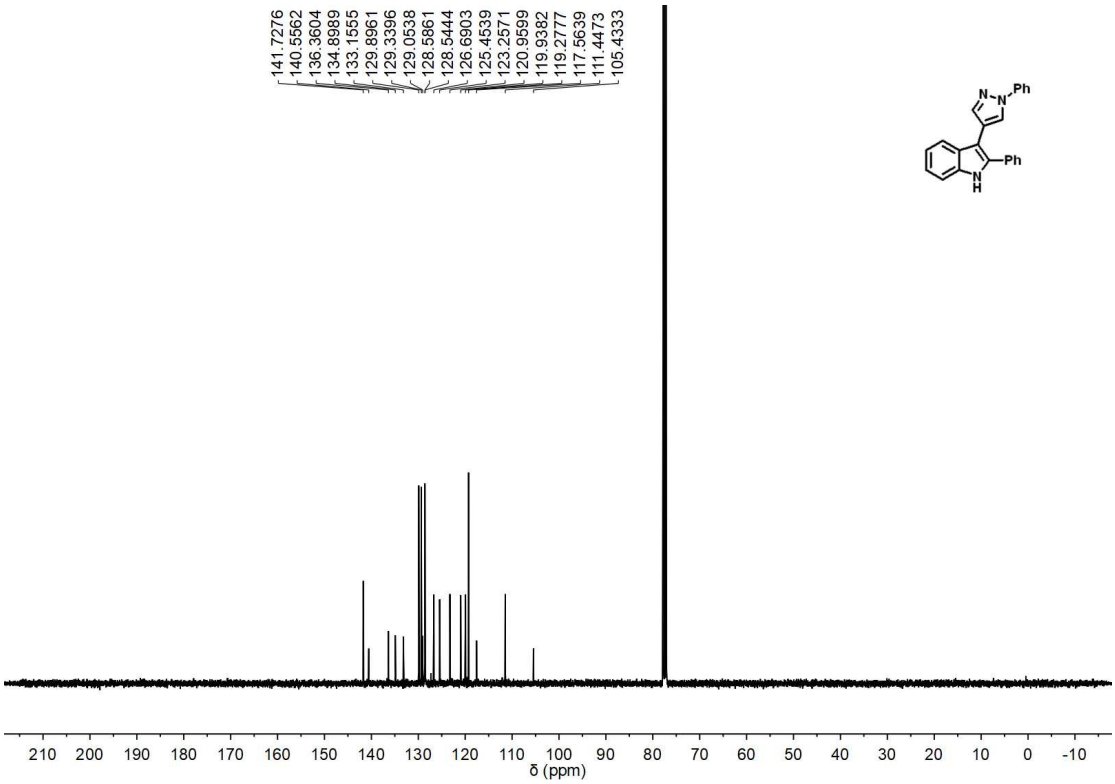
^{1}H NMR of **3oa** (400 MHz, $CDCl_3$)



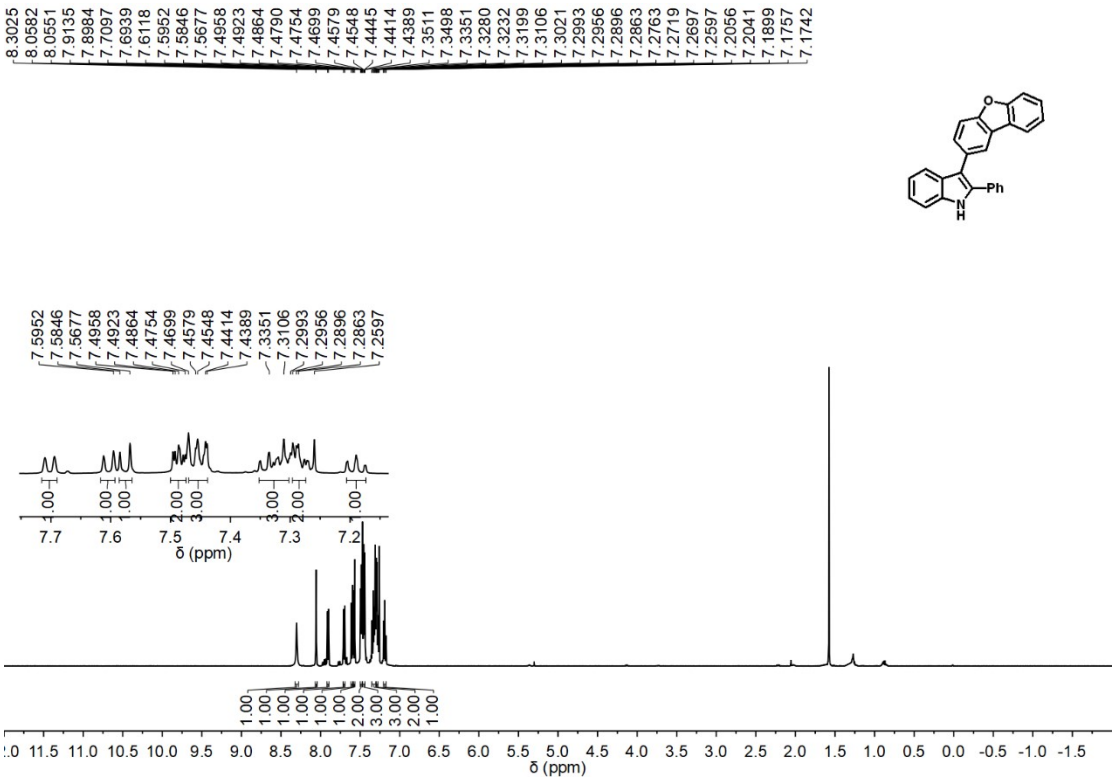
^{13}C NMR of **3oa** (100 MHz, $CDCl_3$)



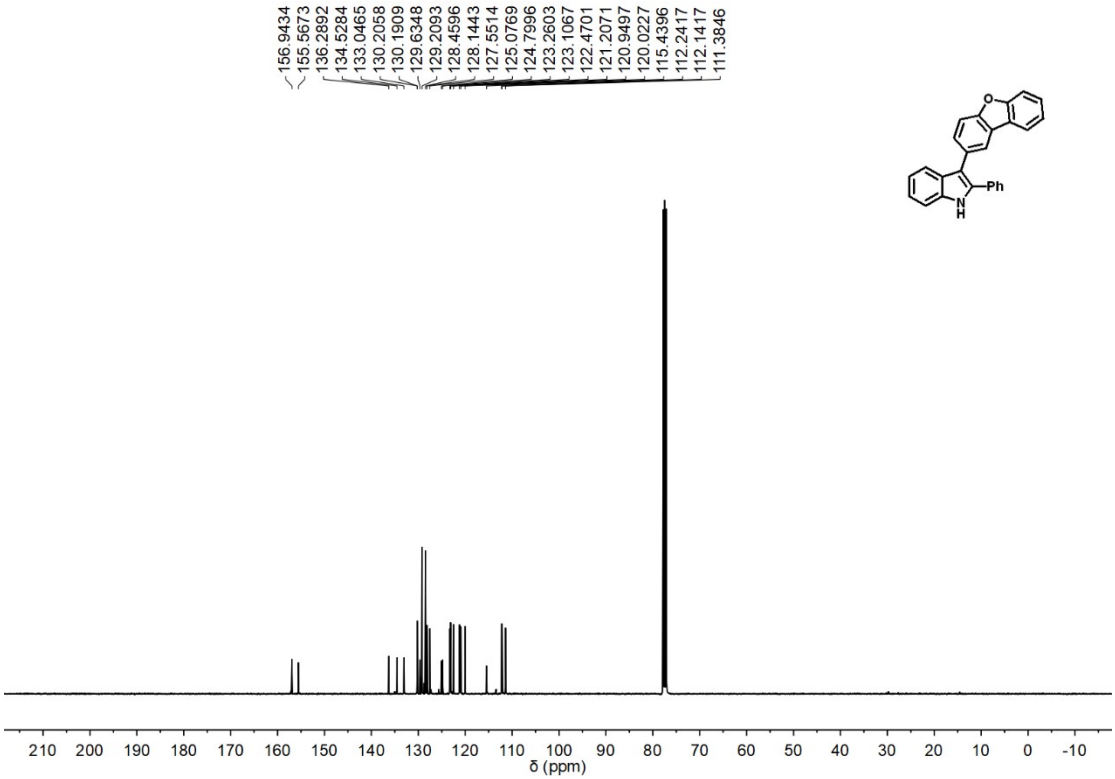
^{1}H NMR of **3pa** (400 MHz, $CDCl_3$)



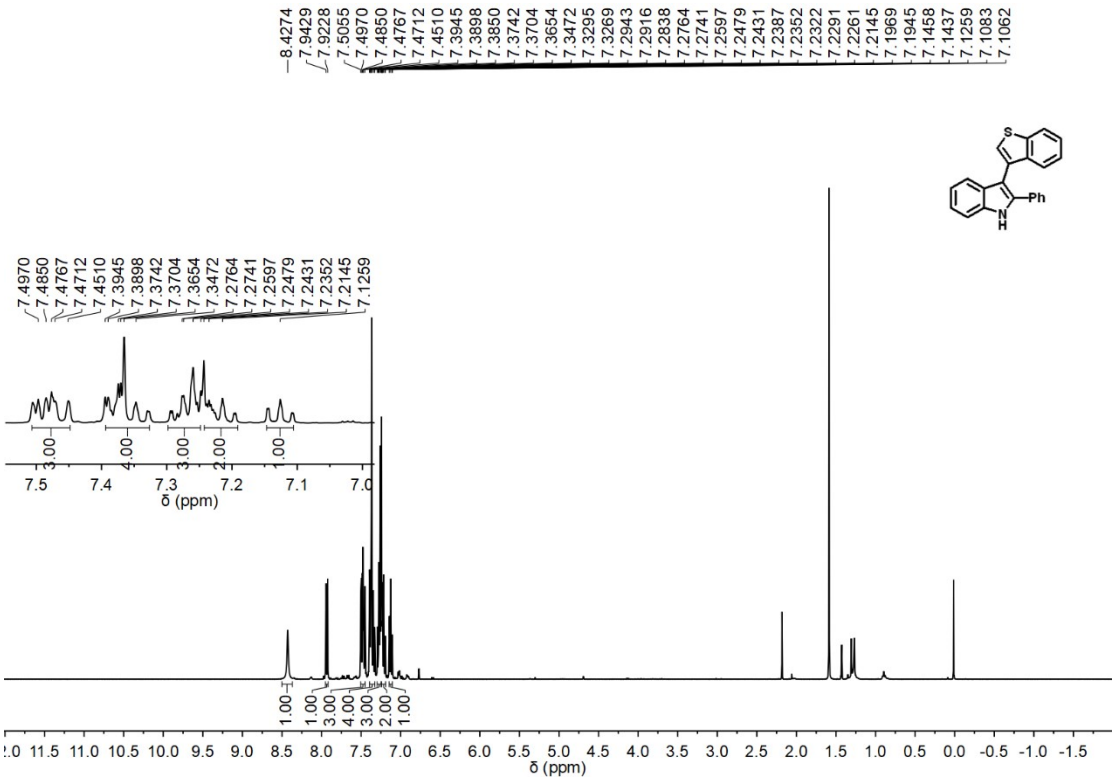
^{13}C NMR of **3pa** (100 MHz, $CDCl_3$)



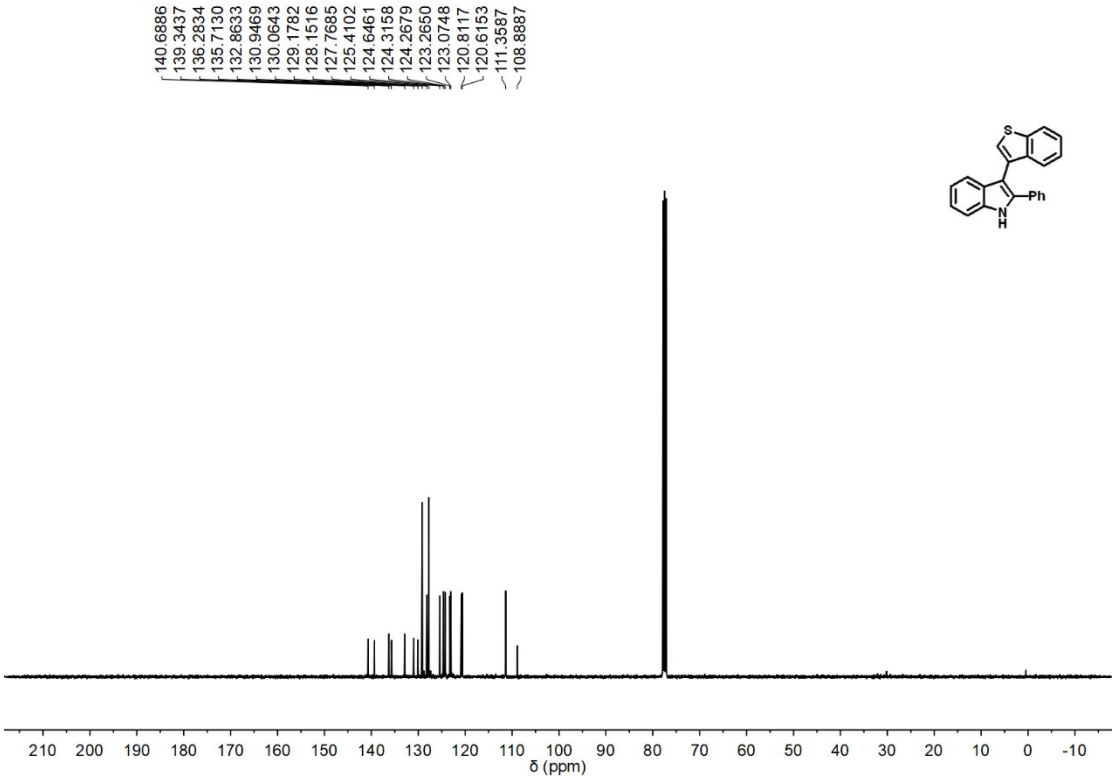
^{1}H NMR of **3qa** (500 MHz, $CDCl_3$)



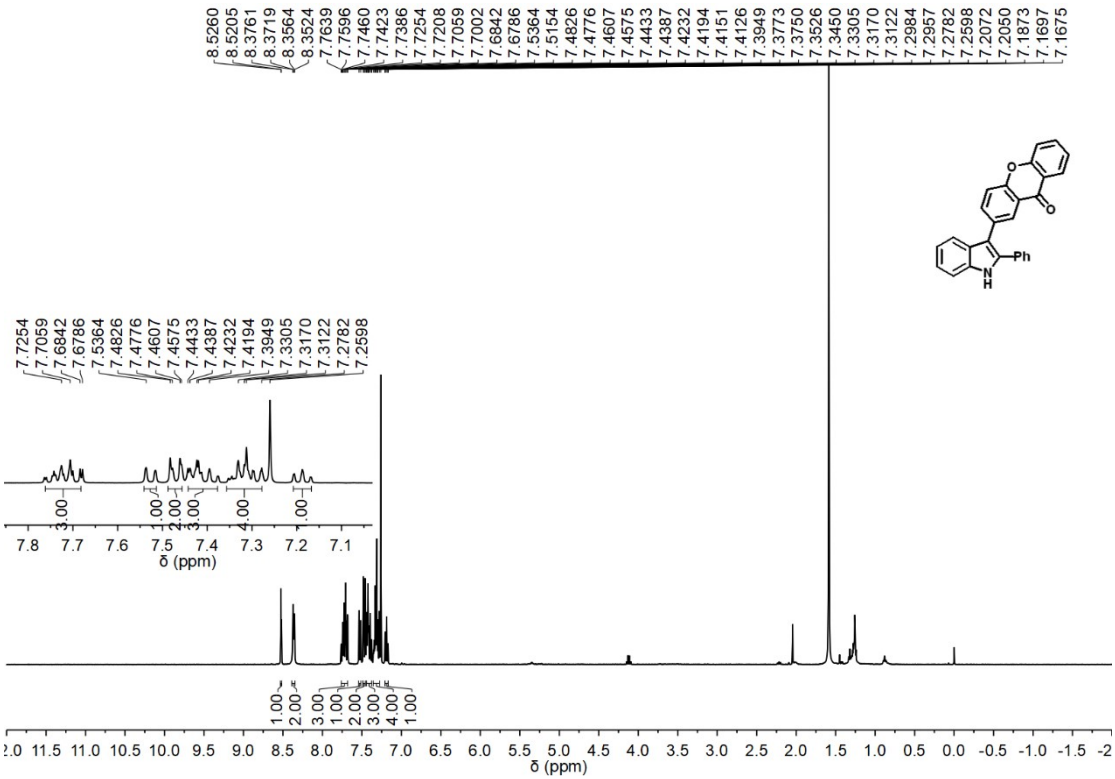
^{13}C NMR of **3qa** (100 MHz, $CDCl_3$)



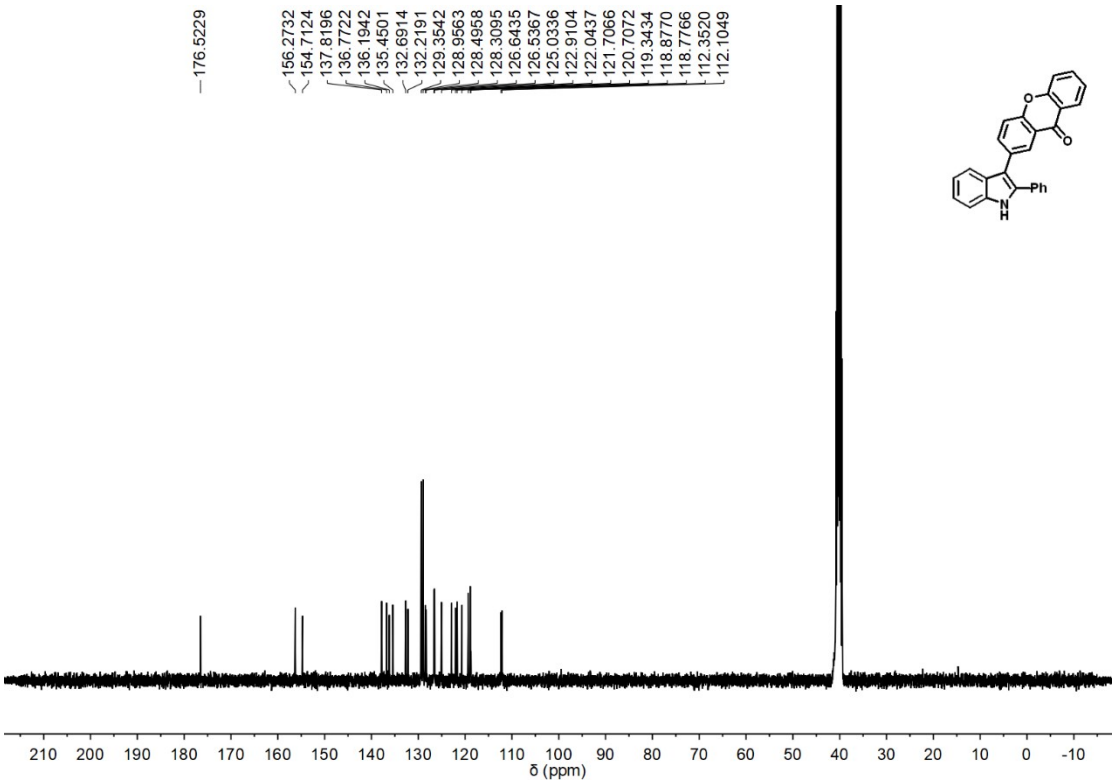
^{1}H NMR of **3ra** (400 MHz, $CDCl_3$)



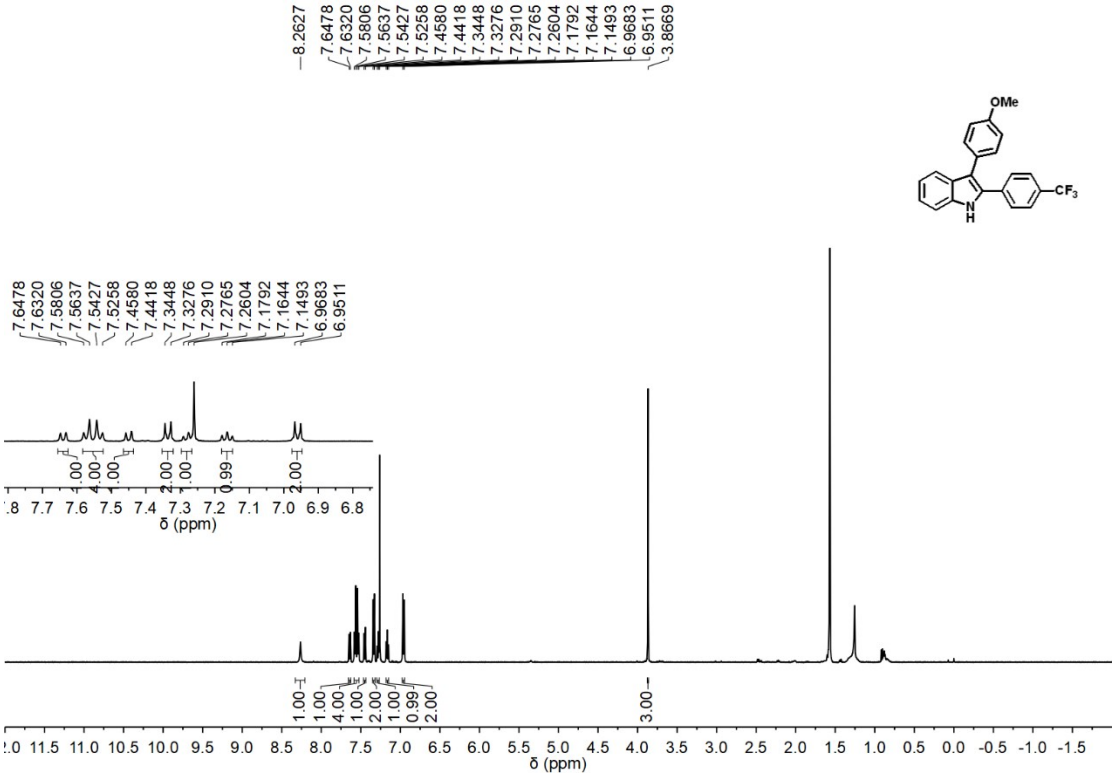
^{13}C NMR of **3ra** (100 MHz, $CDCl_3$)



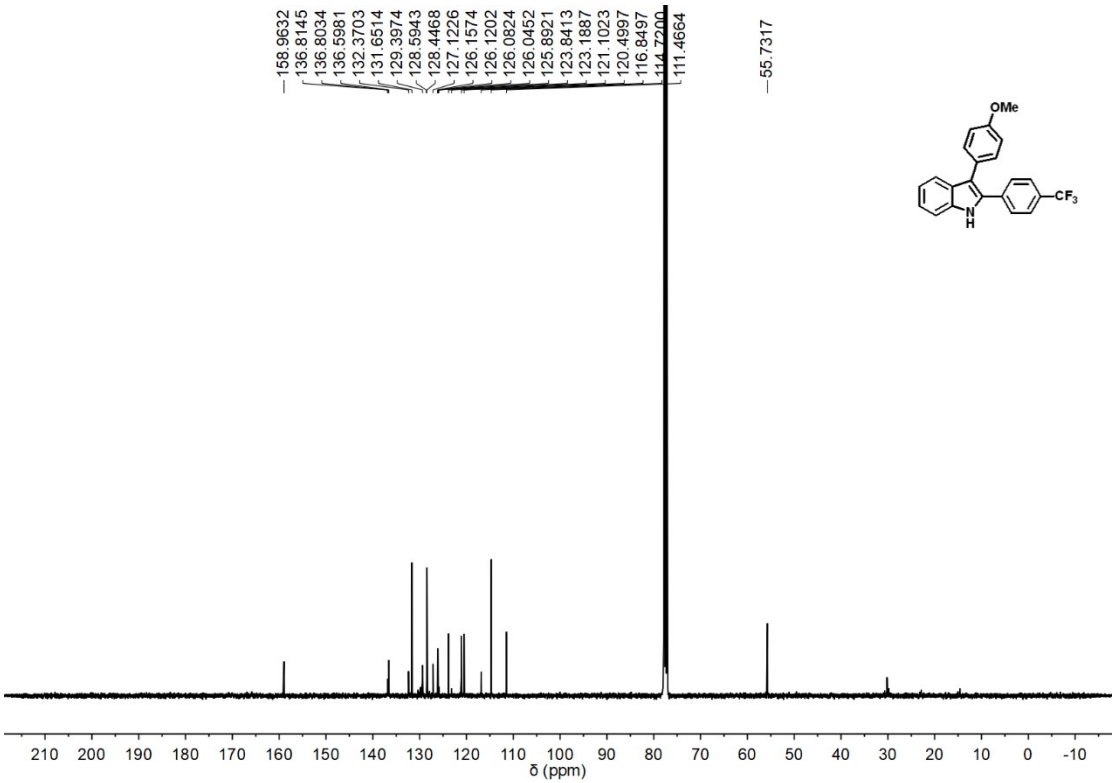
^{1}H NMR of **3sa** (400 MHz, $CDCl_3$)



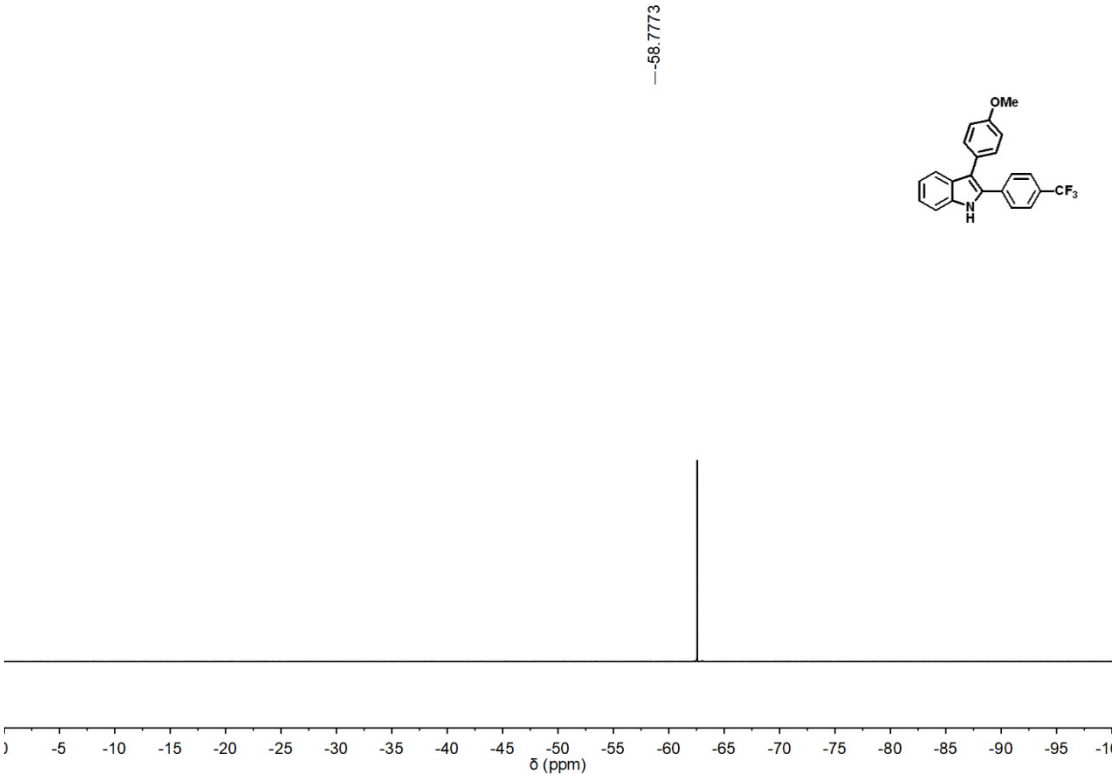
^{13}C NMR of **3sa** (100 MHz, DMSO-d_6)



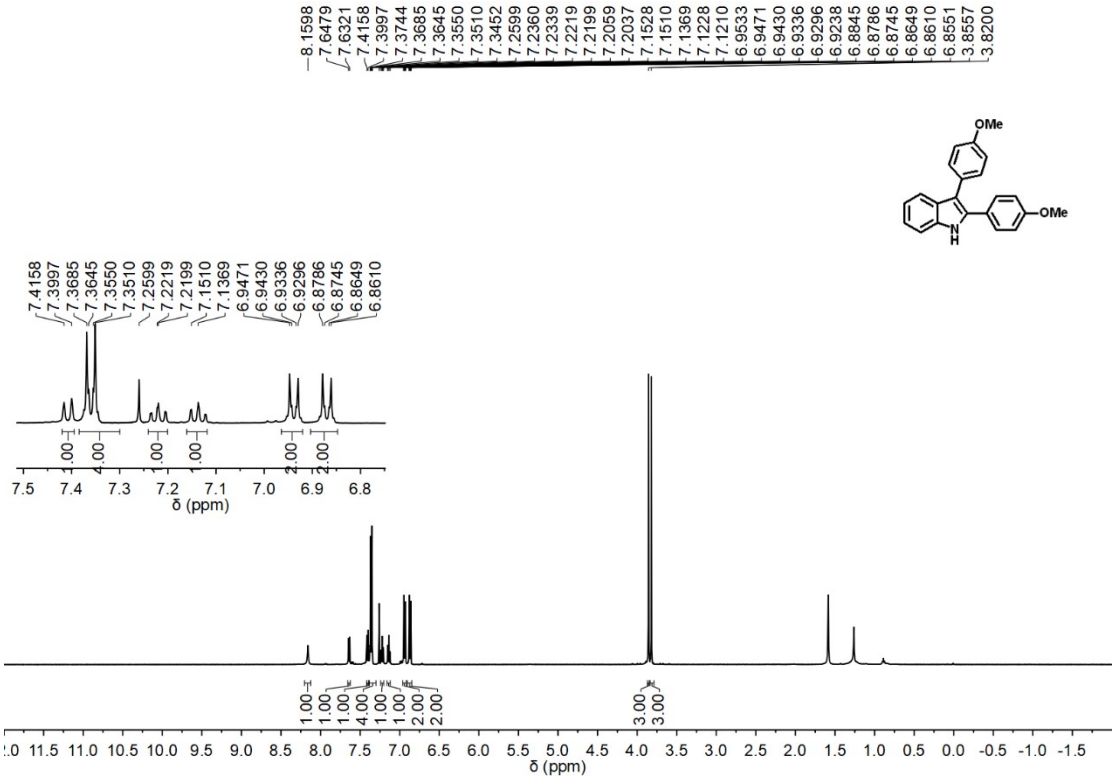
^{1}H NMR of **3ab** (500 MHz, $CDCl_3$)



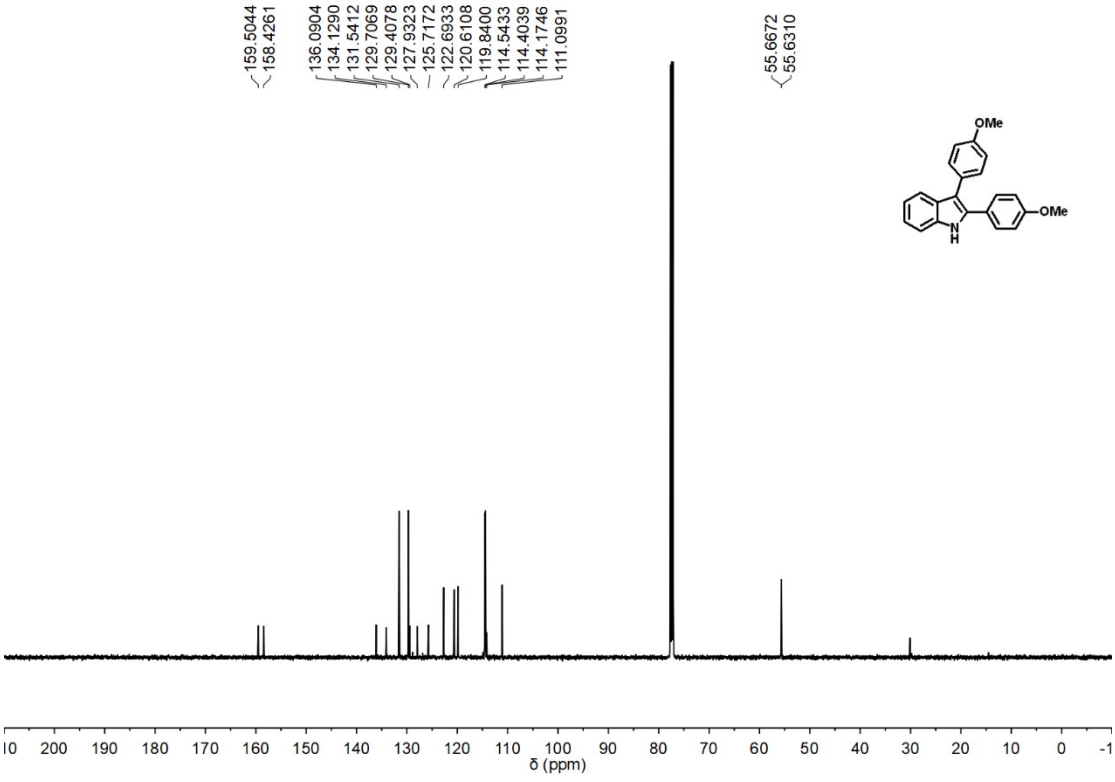
^{13}C NMR of **3ab** (100 MHz, $CDCl_3$)



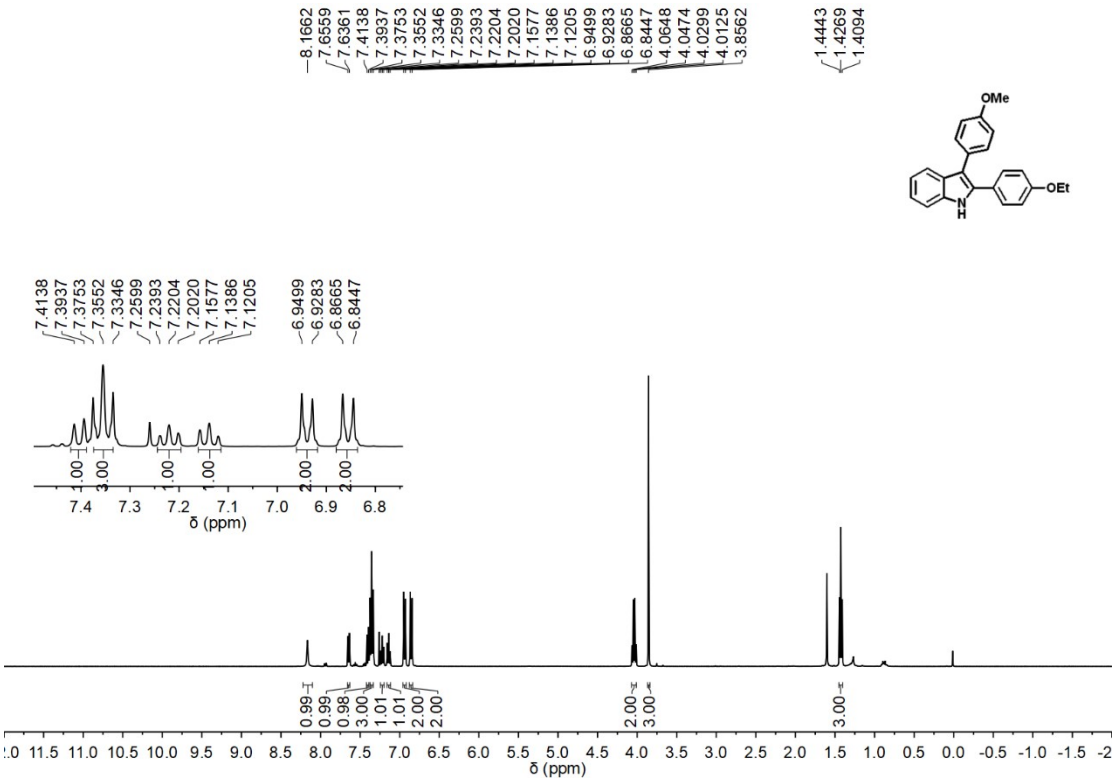
^{19}F NMR of **3ab** (376 MHz, $CDCl_3$)



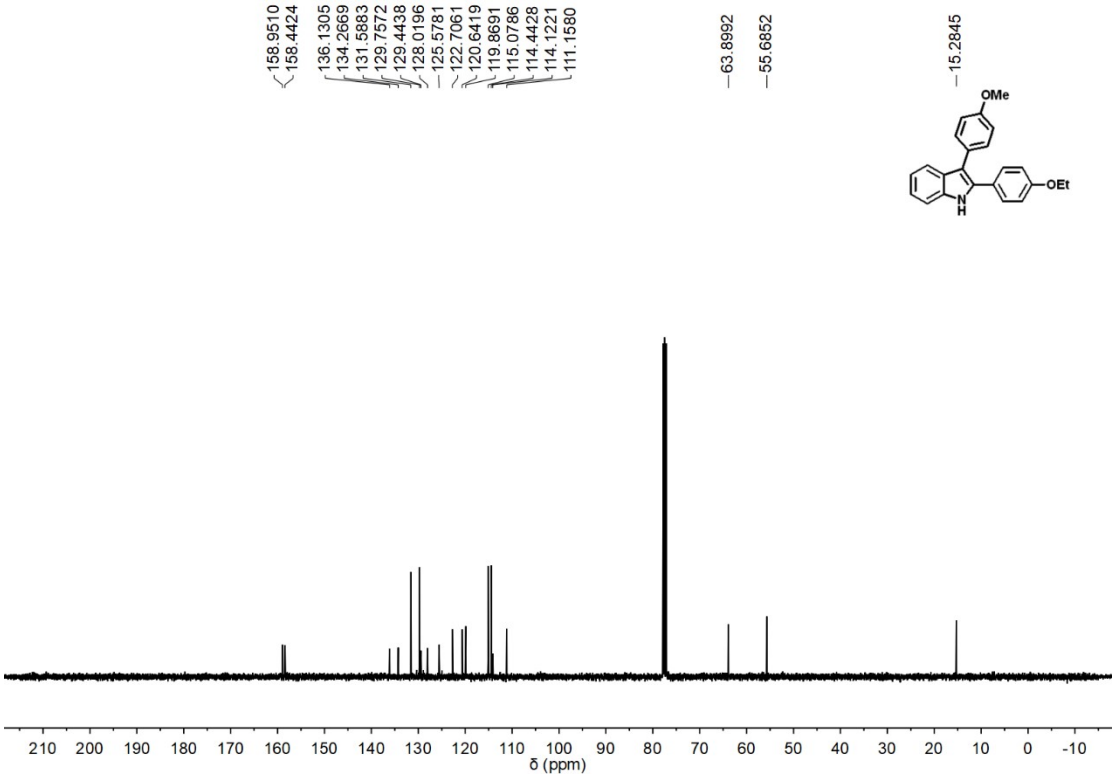
^{1}H NMR of **3ac** (500 MHz, $CDCl_3$)



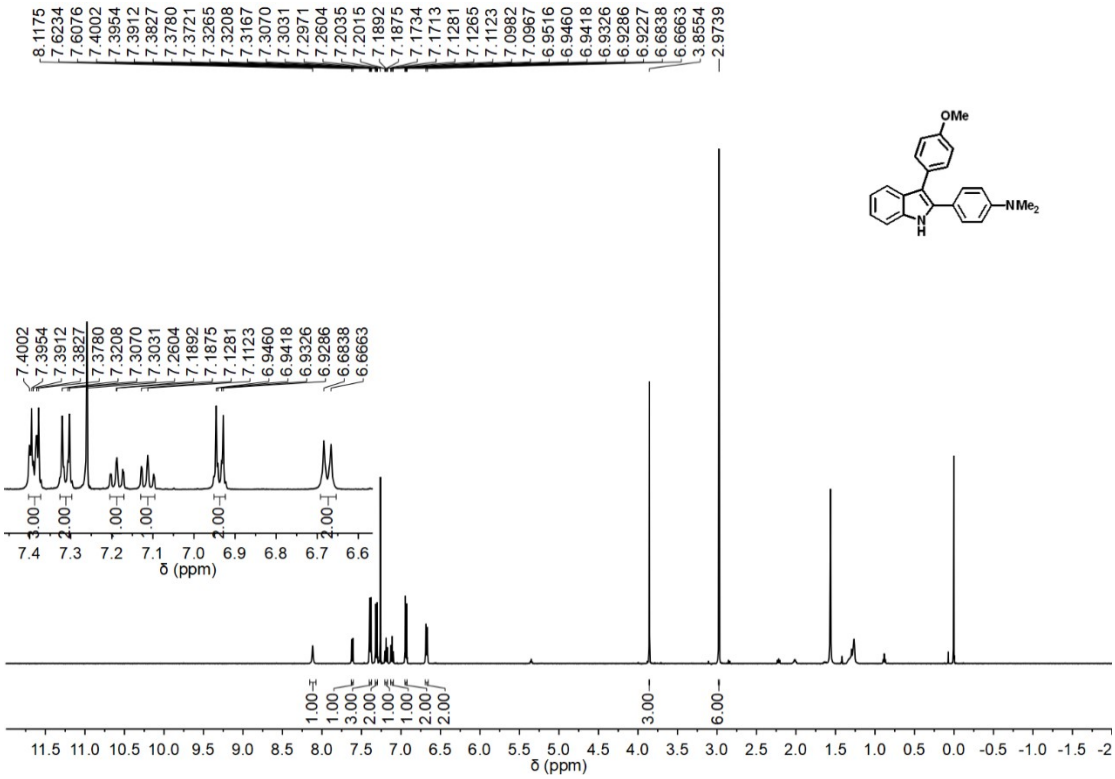
^{13}C NMR of **3ac** (125 MHz, $CDCl_3$)



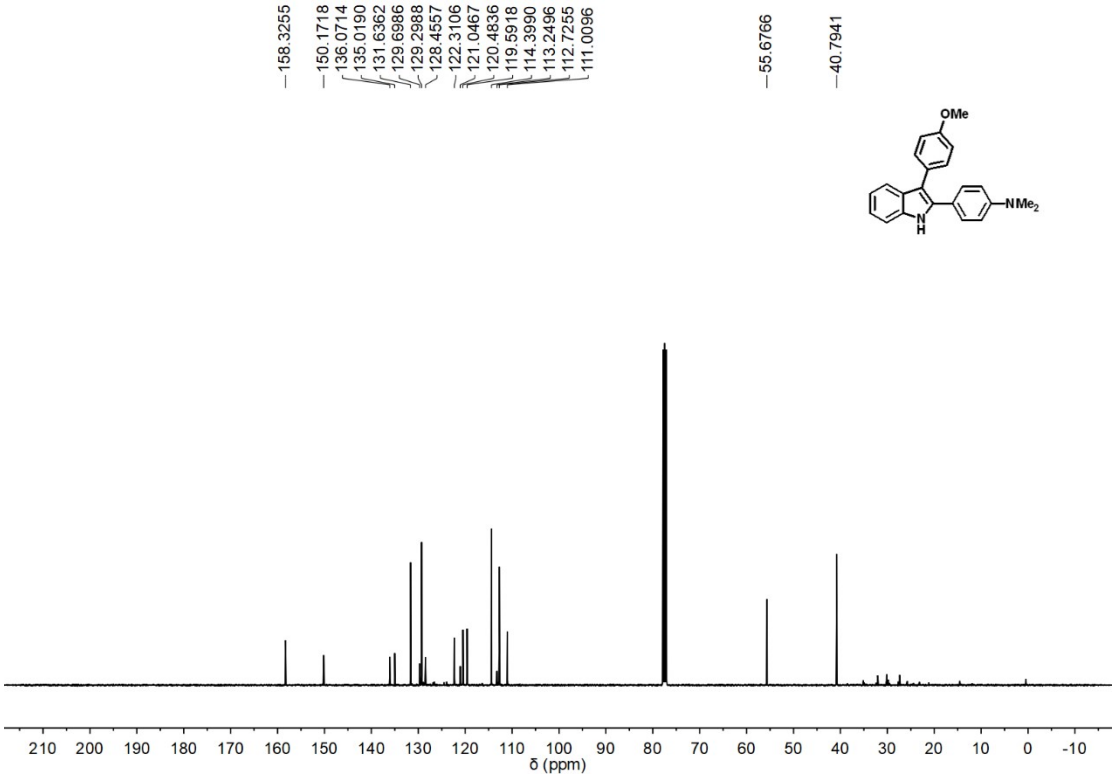
^{1}H NMR of **3ad** (400 MHz, $CDCl_3$)



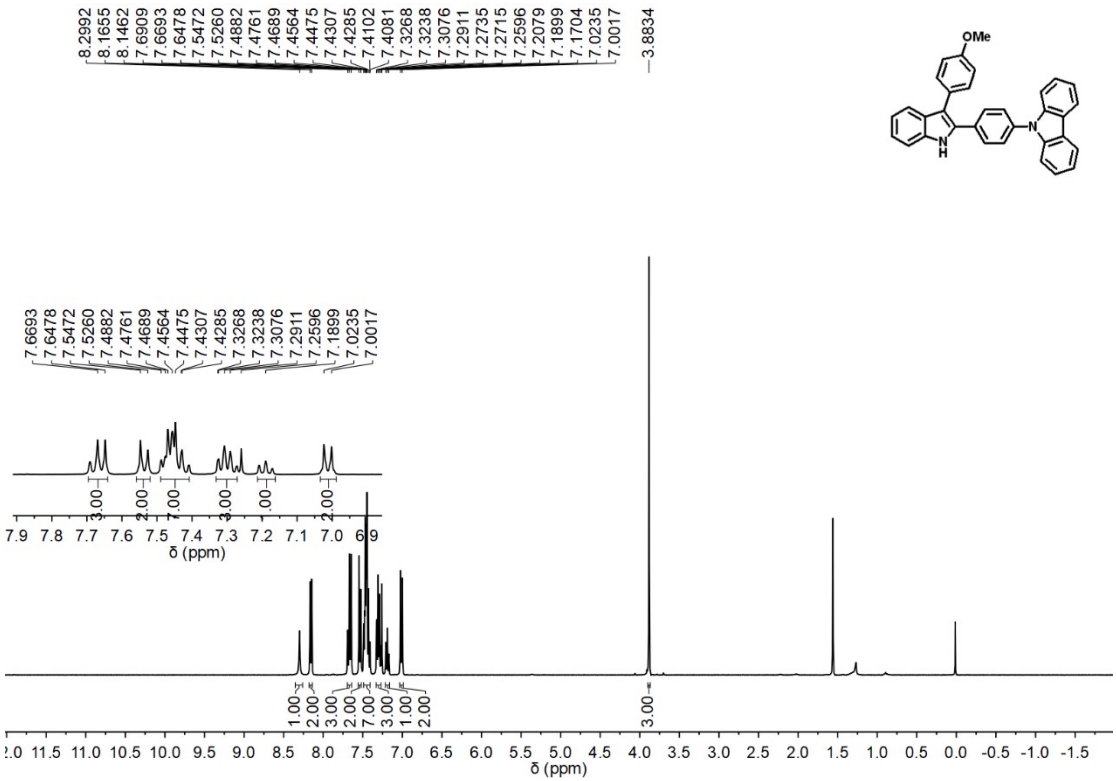
^{13}C NMR of **3ad** (100 MHz, $CDCl_3$)



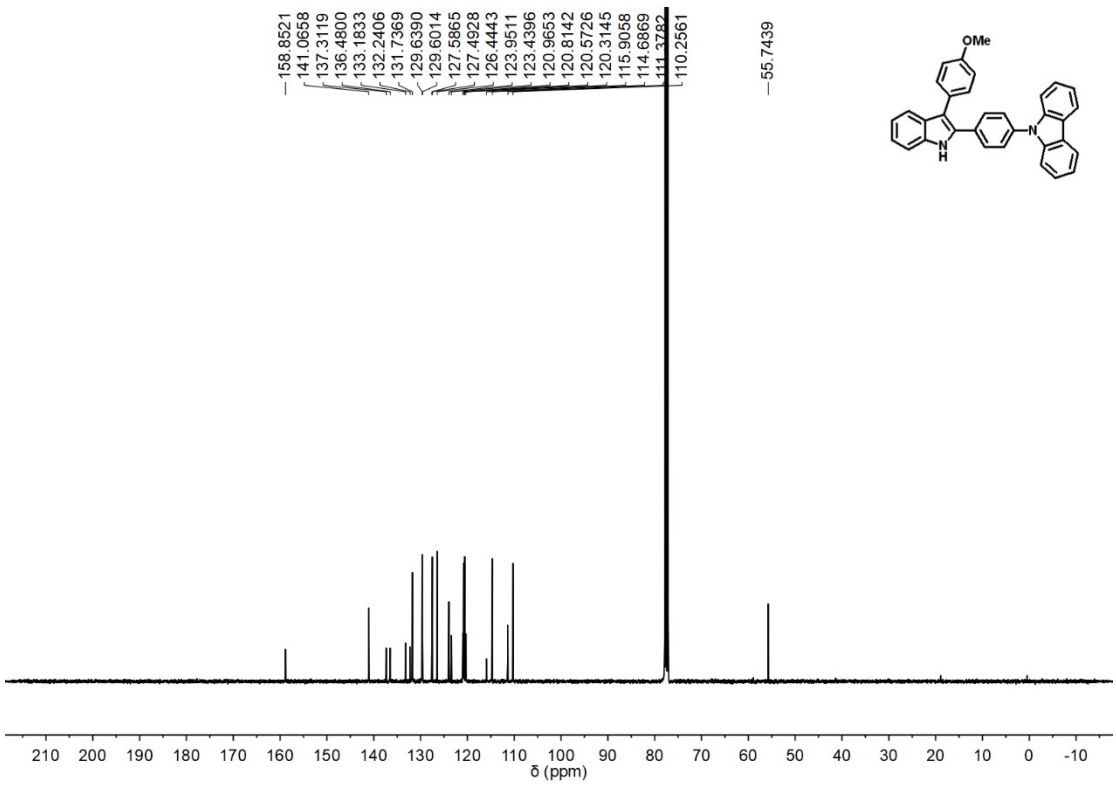
^{1}H NMR of **3ae** (500 MHz, $CDCl_3$)



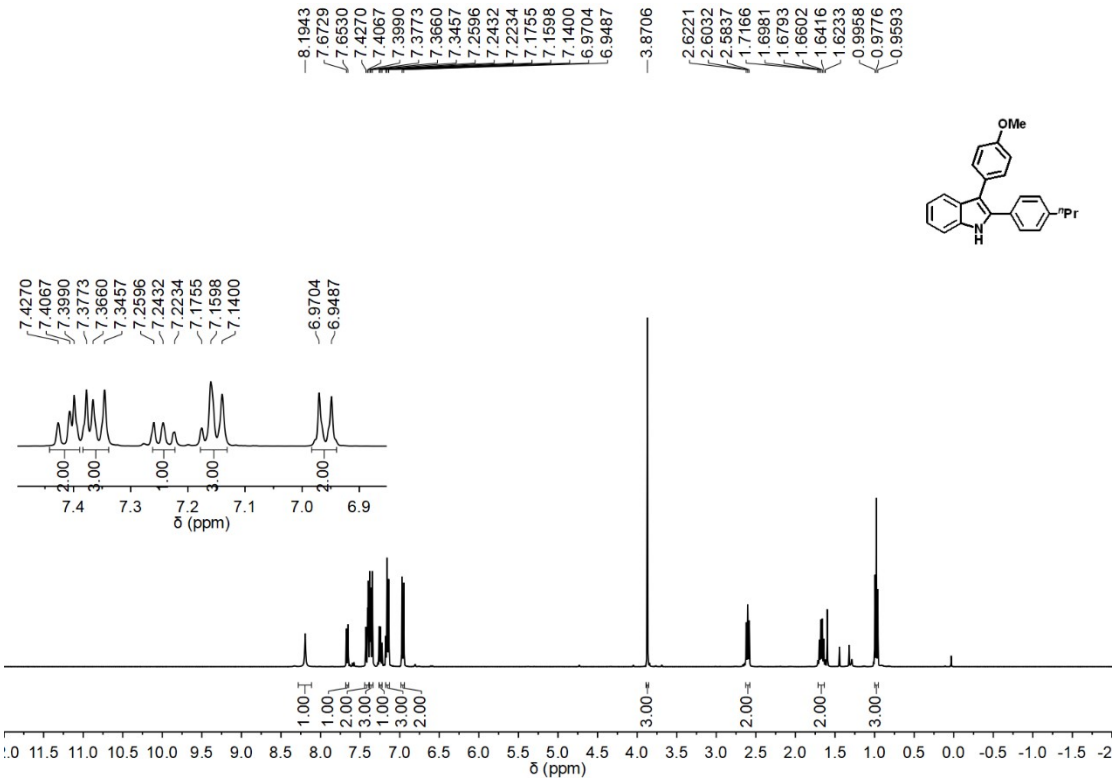
^{13}C NMR of **3ae** (100 MHz, $CDCl_3$)



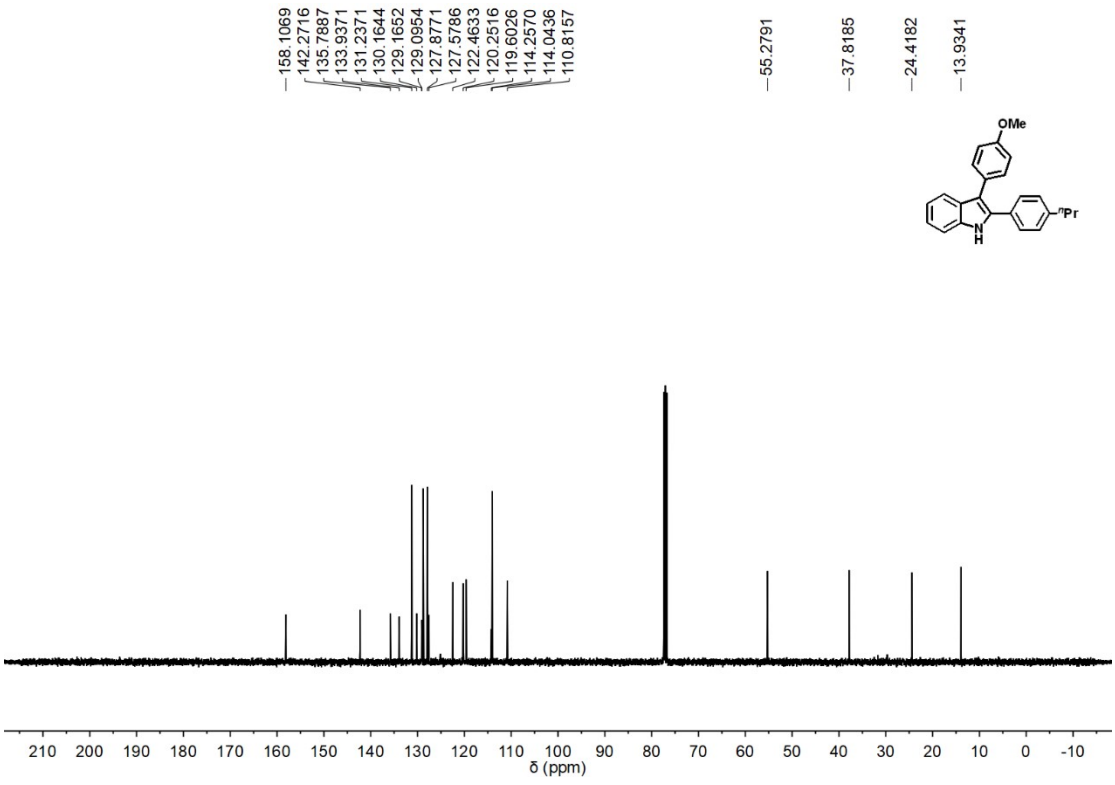
^{1}H NMR of **3af** (400 MHz, $CDCl_3$)



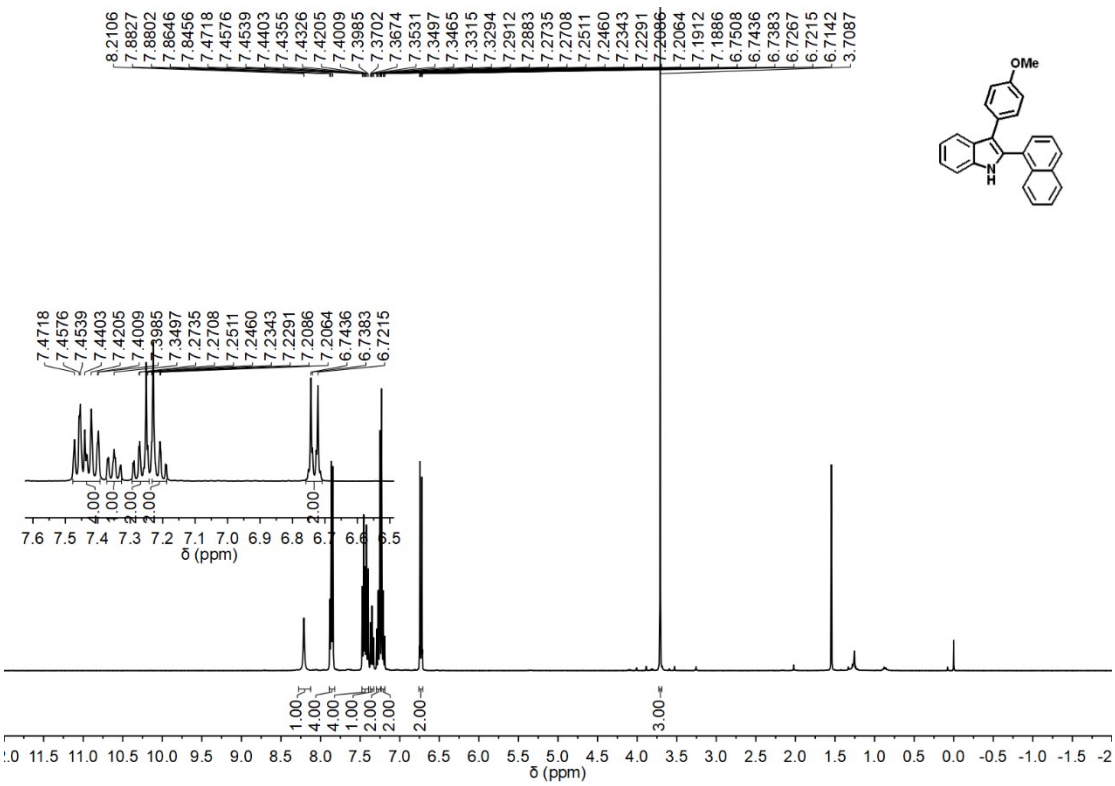
^{13}C NMR of **3af** (100 MHz, $CDCl_3$)



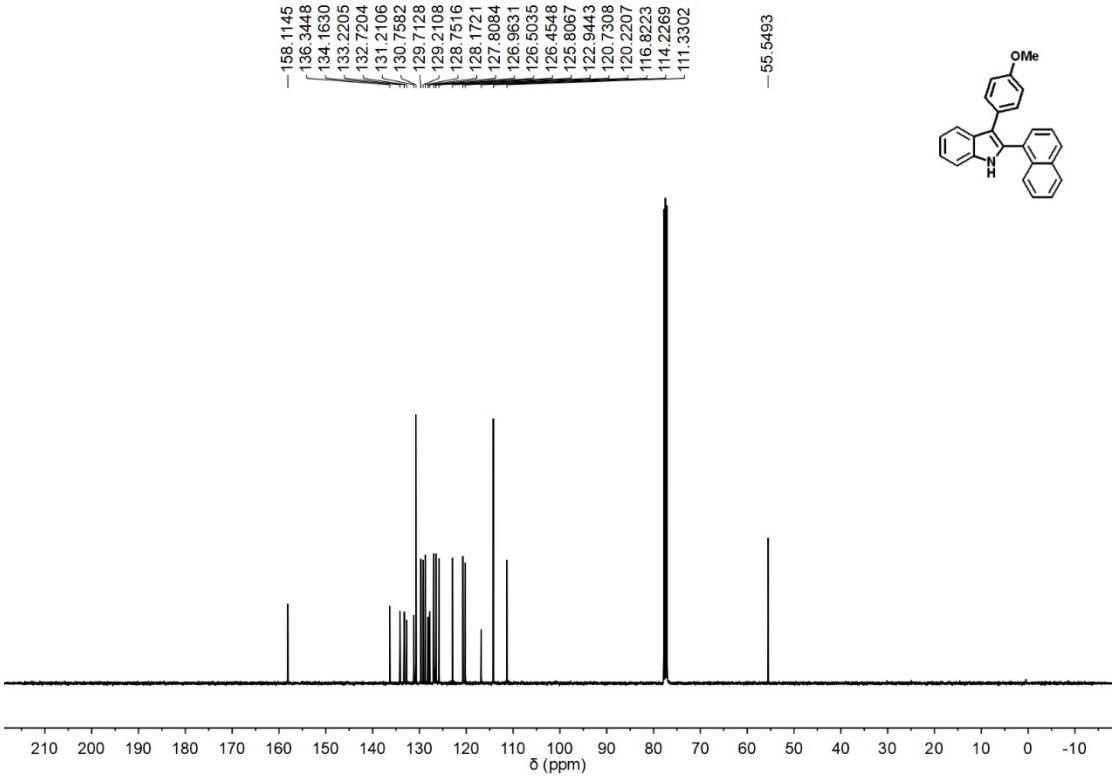
^{1}H NMR of **3ag** (400 MHz, $CDCl_3$)



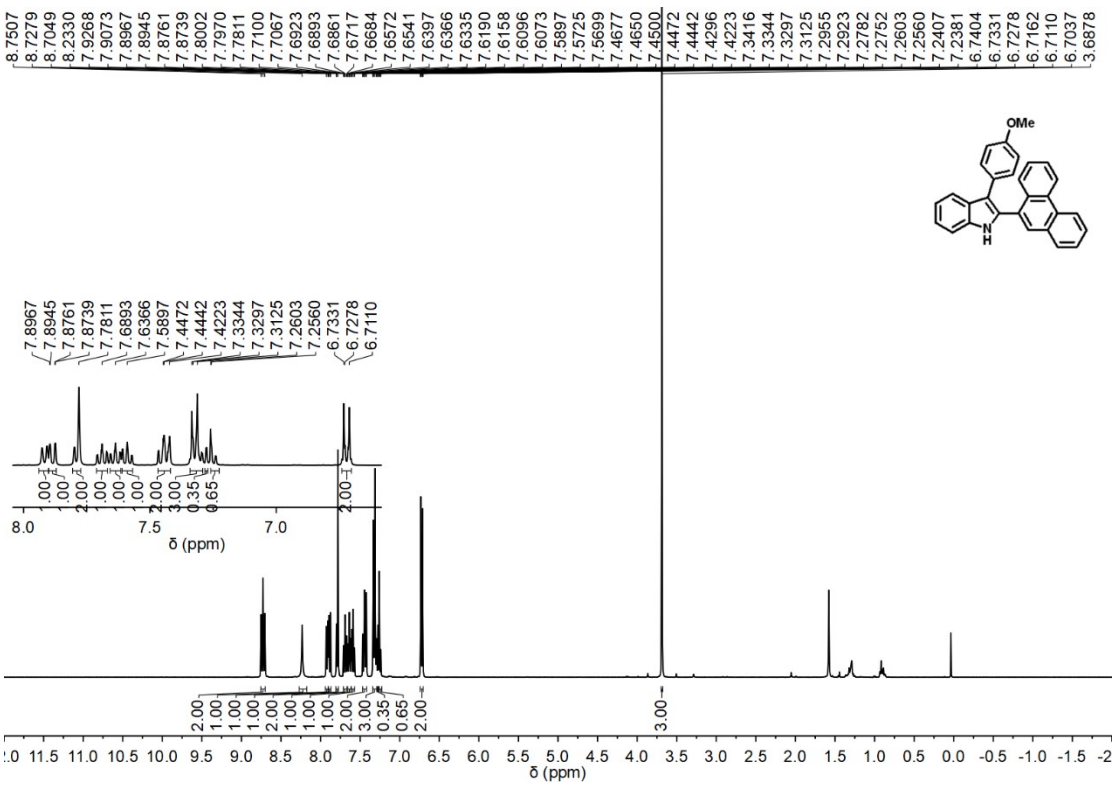
^{13}C NMR of **3ag** (100 MHz, $CDCl_3$)



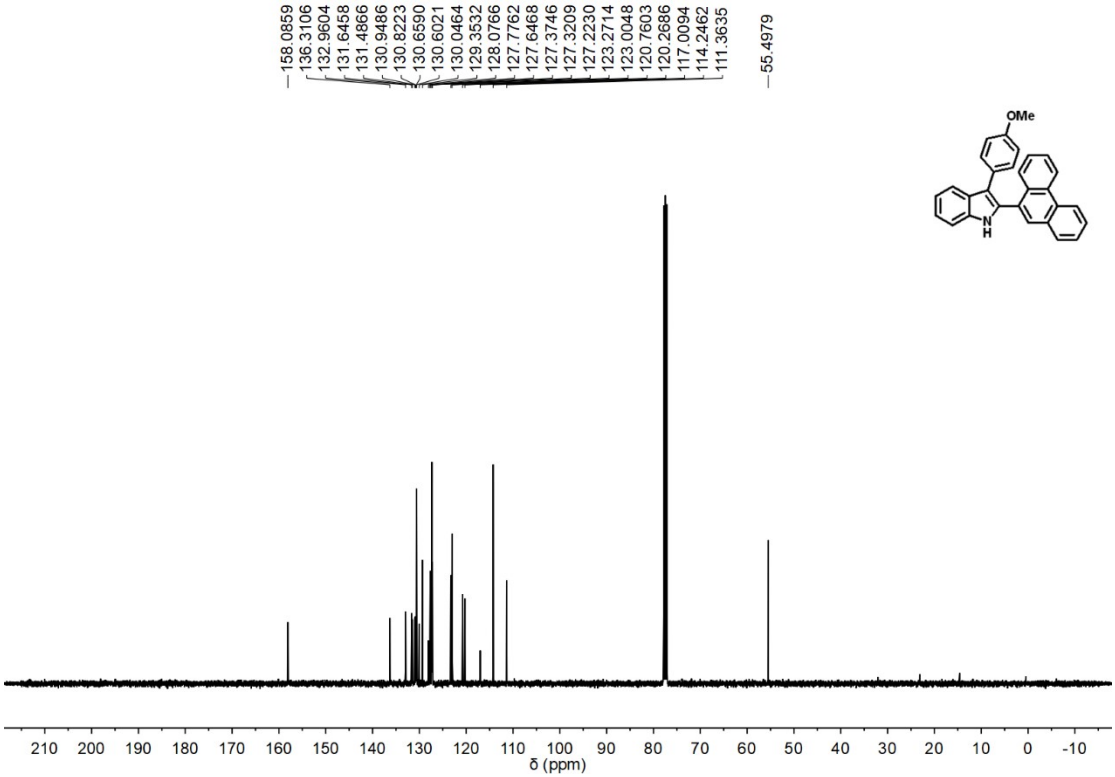
^{1}H NMR of **3ah** (400 MHz, $CDCl_3$)



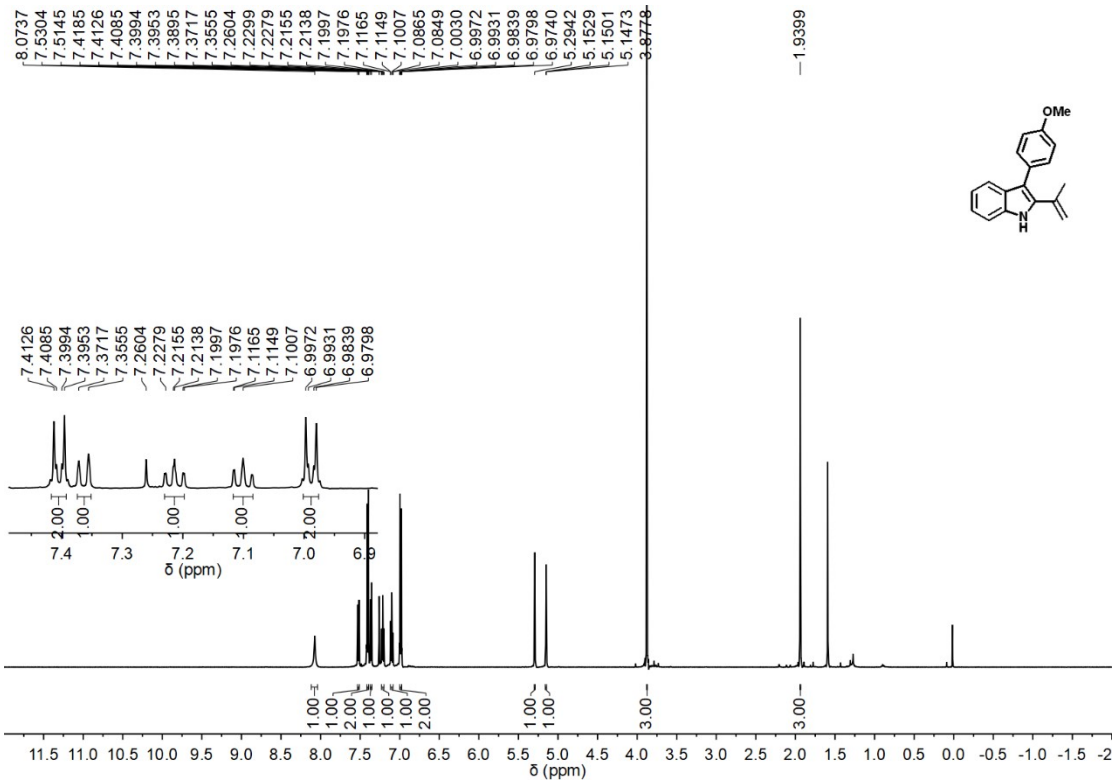
^{13}C NMR of **3ah** (100 MHz, $CDCl_3$)



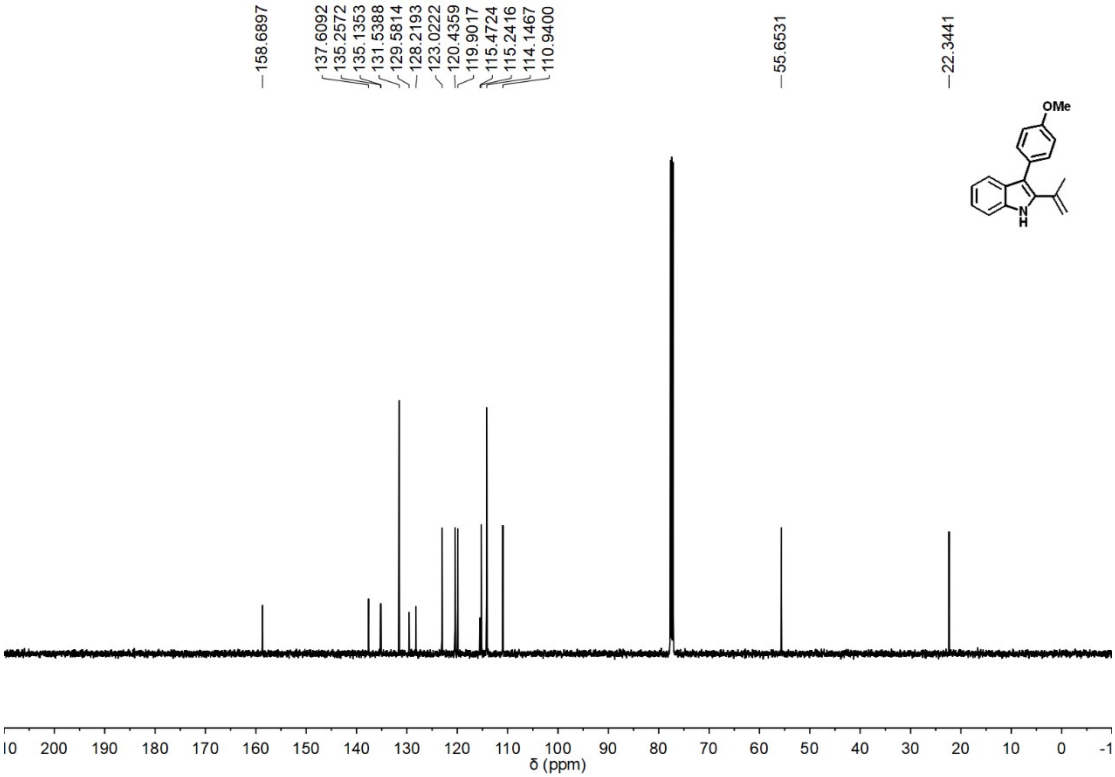
^{1}H NMR of **3ai** (400 MHz, $CDCl_3$)



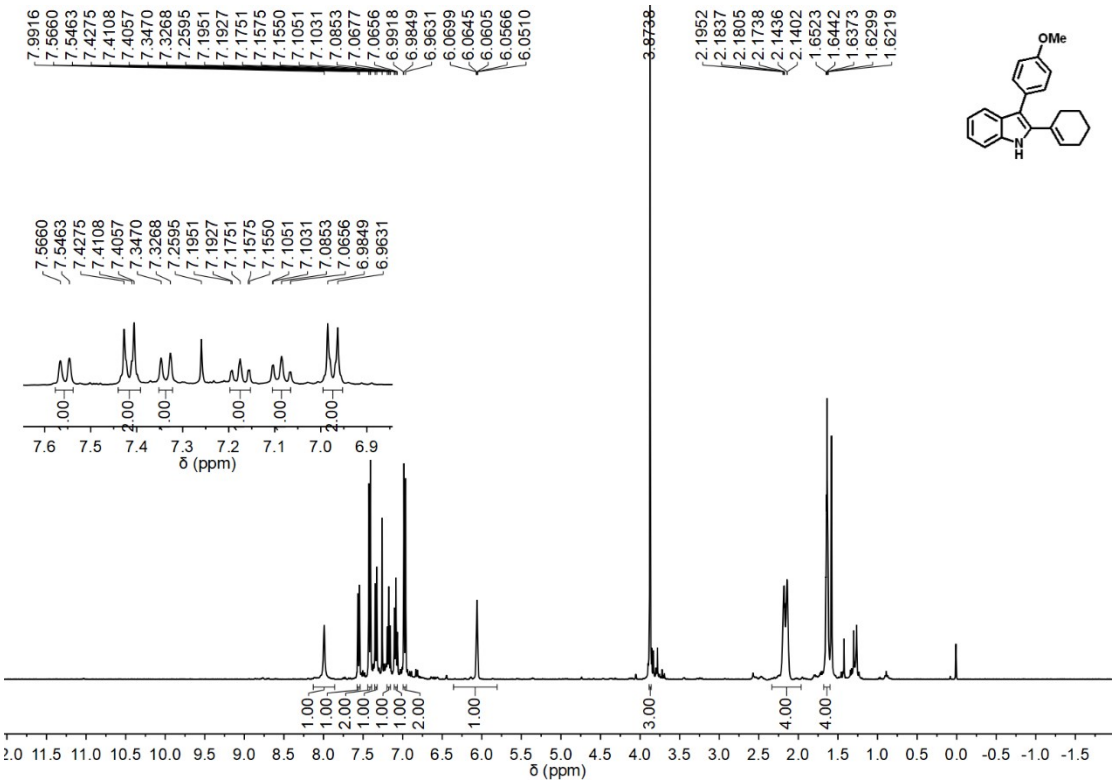
^{13}C NMR of **3ai** (100 MHz, $CDCl_3$)



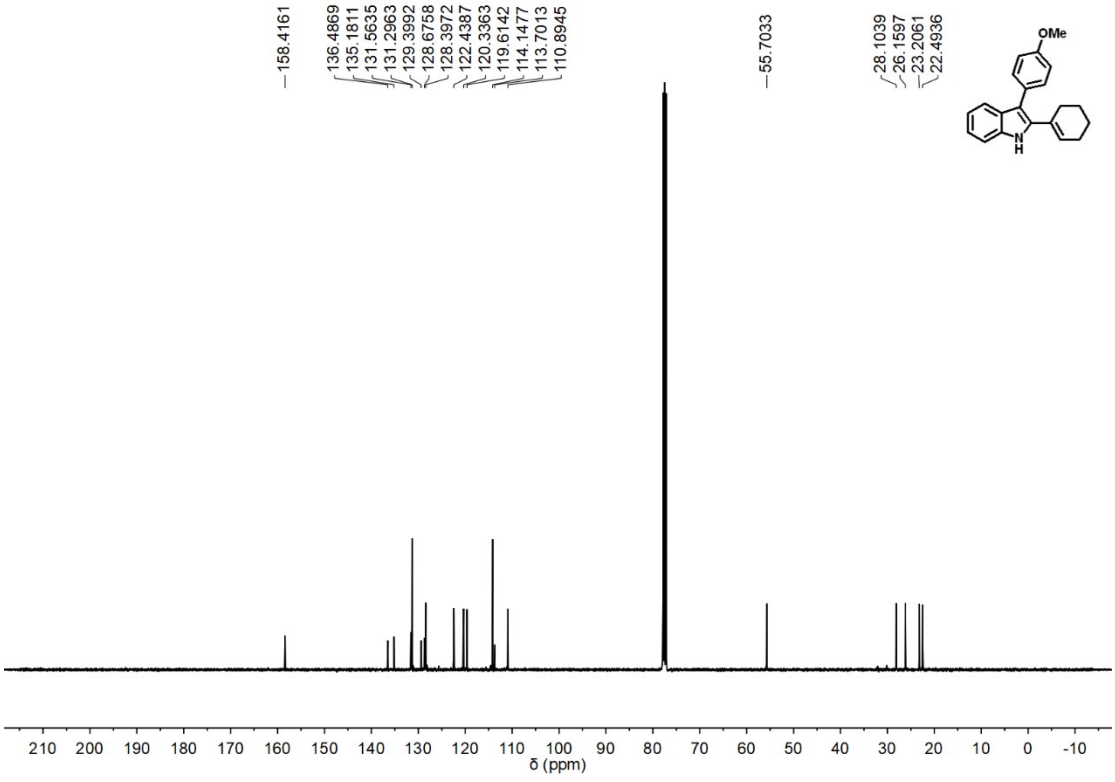
^{1}H NMR of **3aj** (400 MHz, $CDCl_3$)



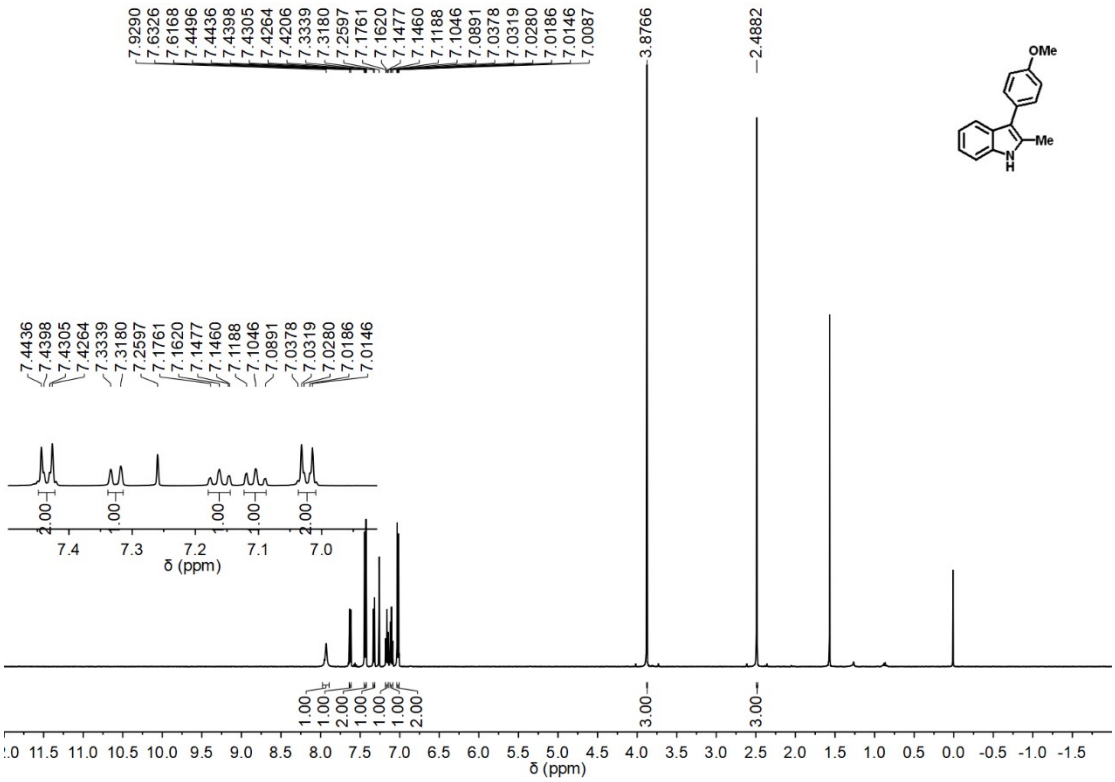
^{13}C NMR of **3aj** (100 MHz, $CDCl_3$)



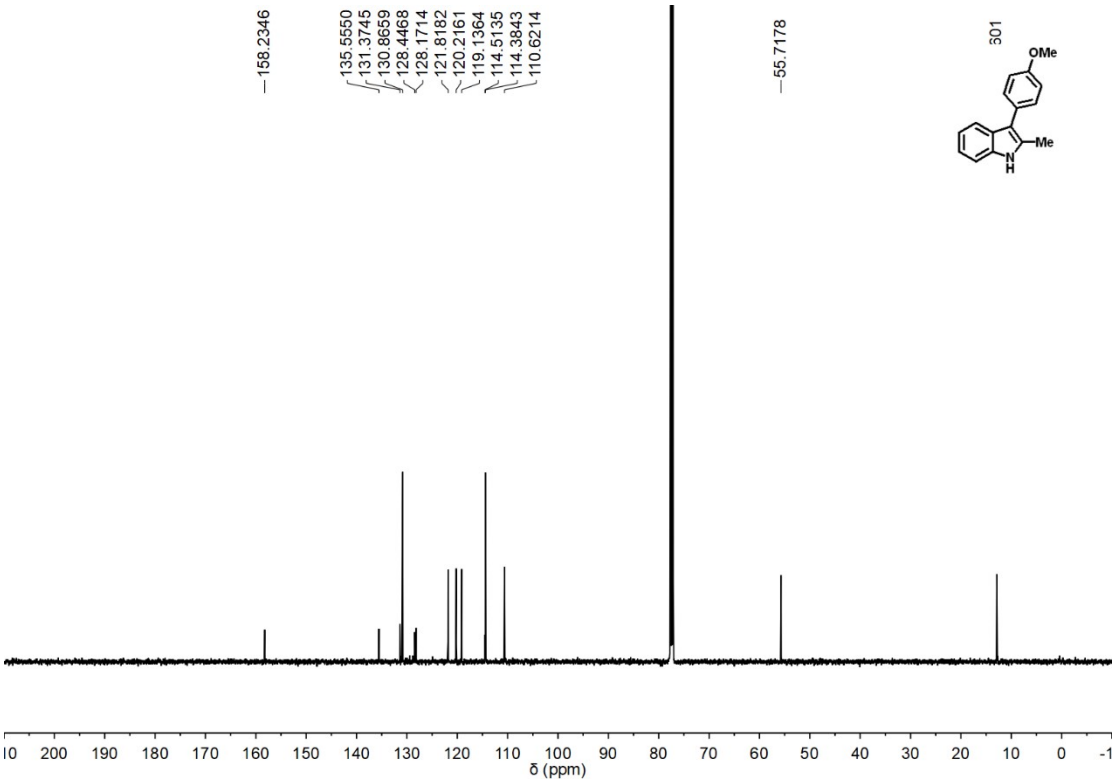
^{1}H NMR of **3ak** (400 MHz, $CDCl_3$)



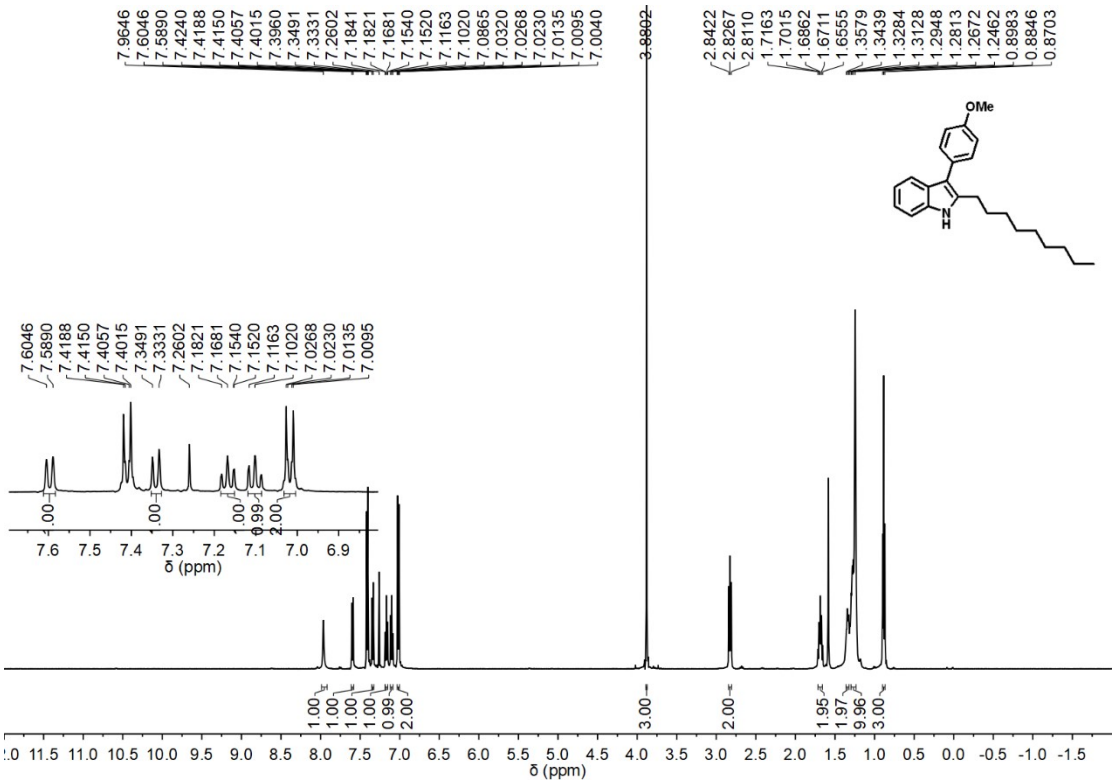
^{13}C NMR of **3ak** (100 MHz, $CDCl_3$)



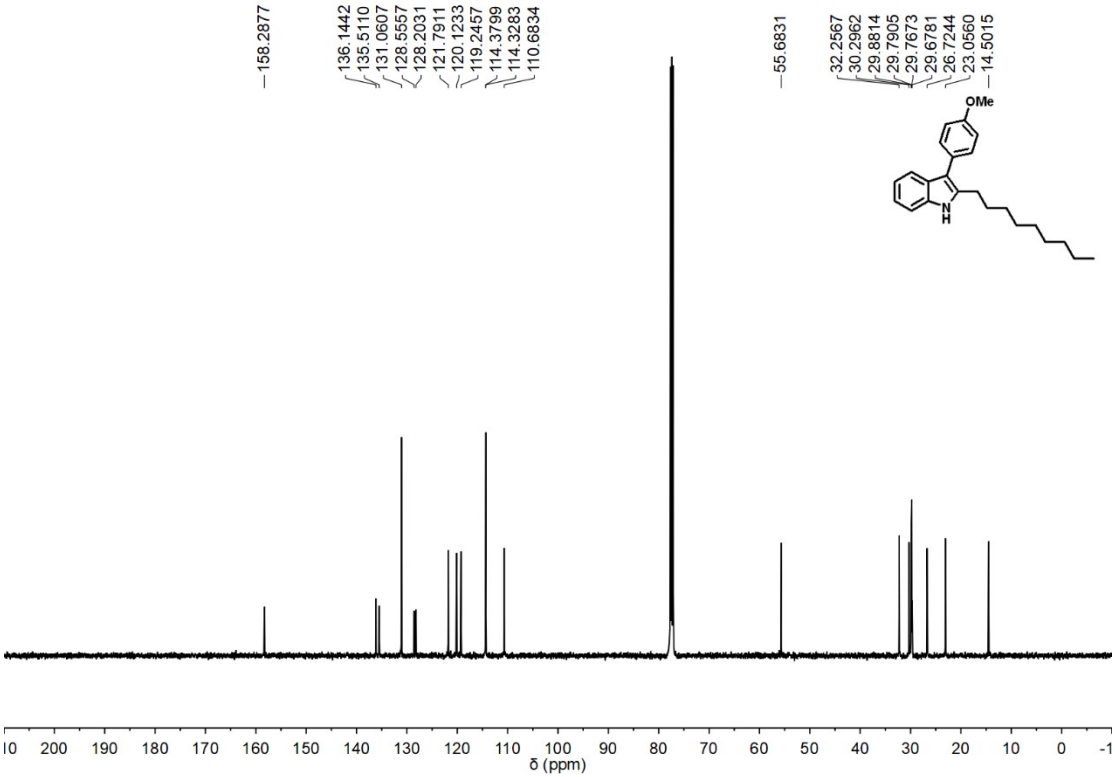
^{1}H NMR of **3al** (500 MHz, $CDCl_3$)



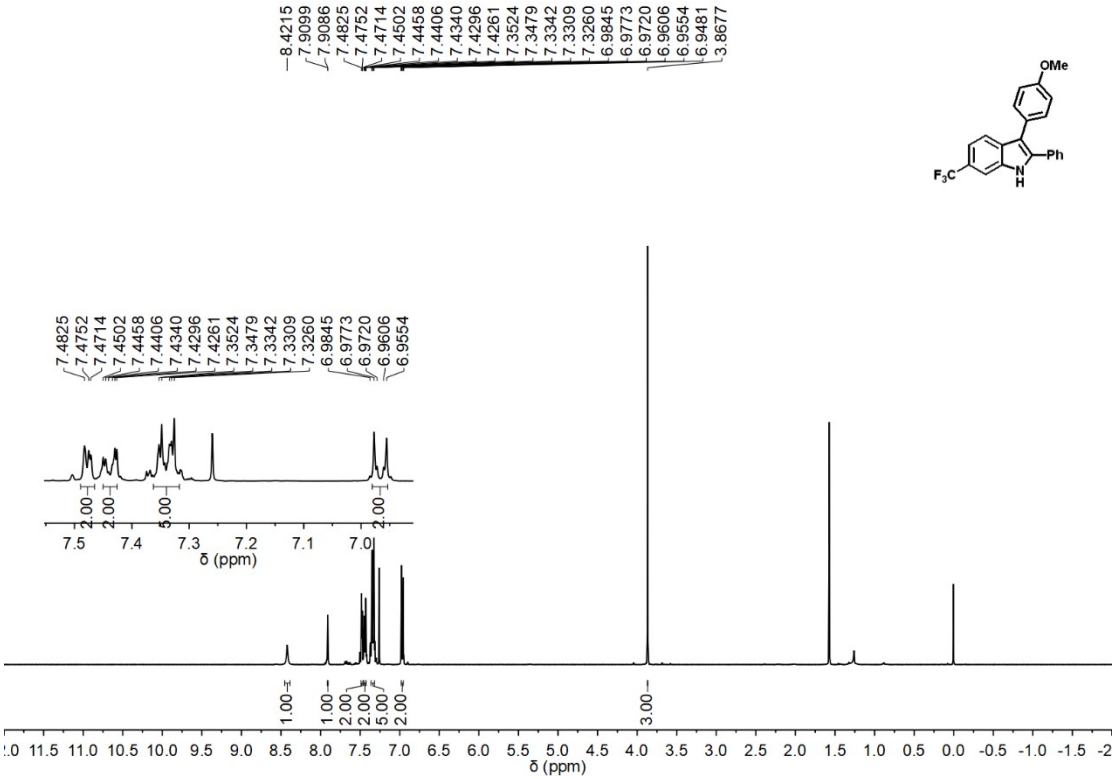
^{13}C NMR of **3al** (125 MHz, $CDCl_3$)



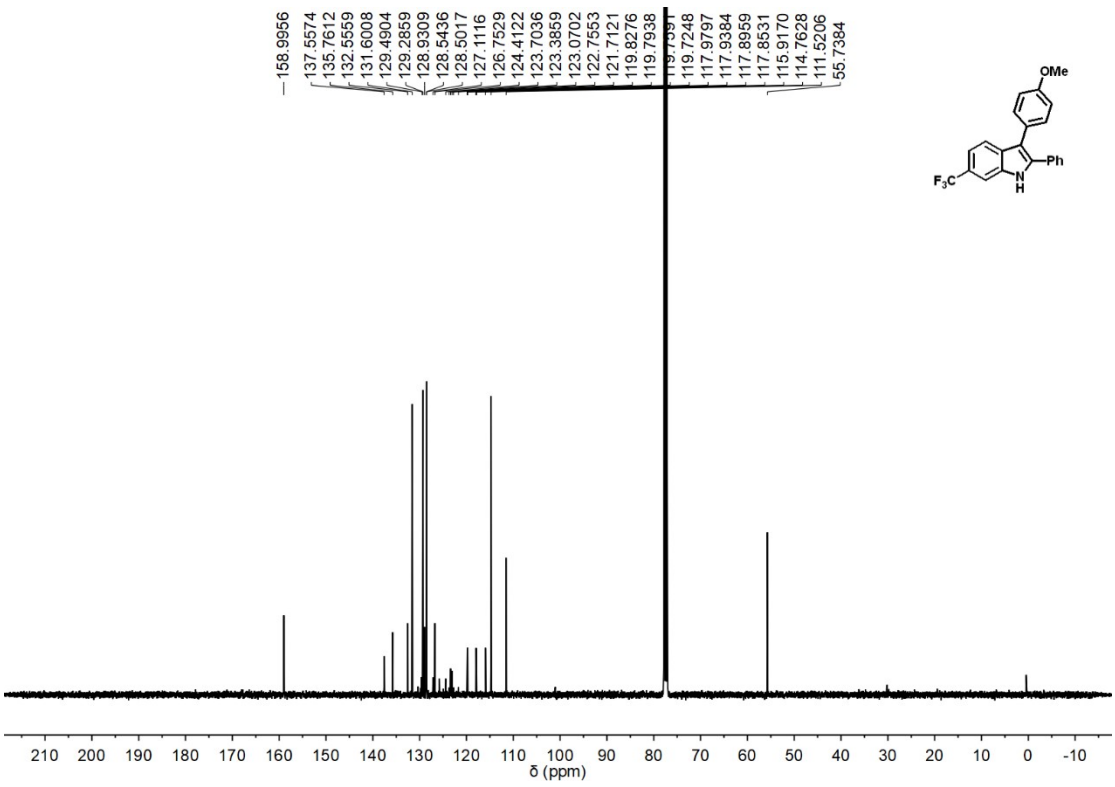
^{1}H NMR of **3am** (500 MHz, $CDCl_3$)



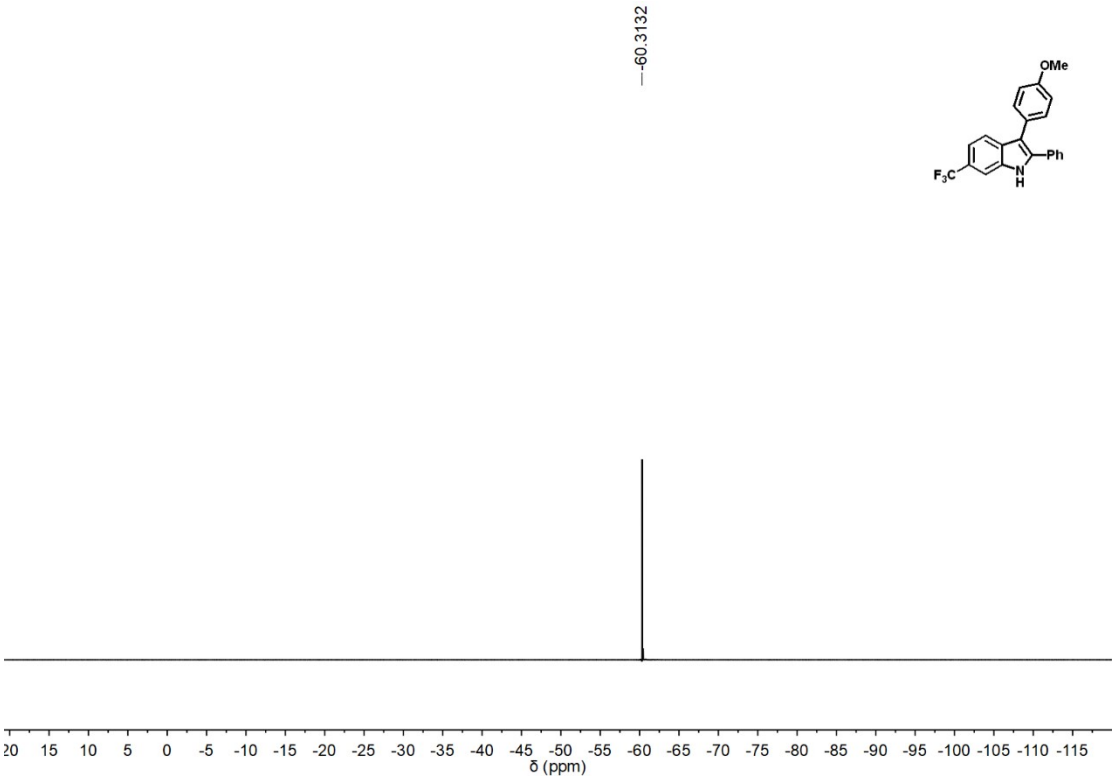
^{13}C NMR of **3am** (125 MHz, $CDCl_3$)



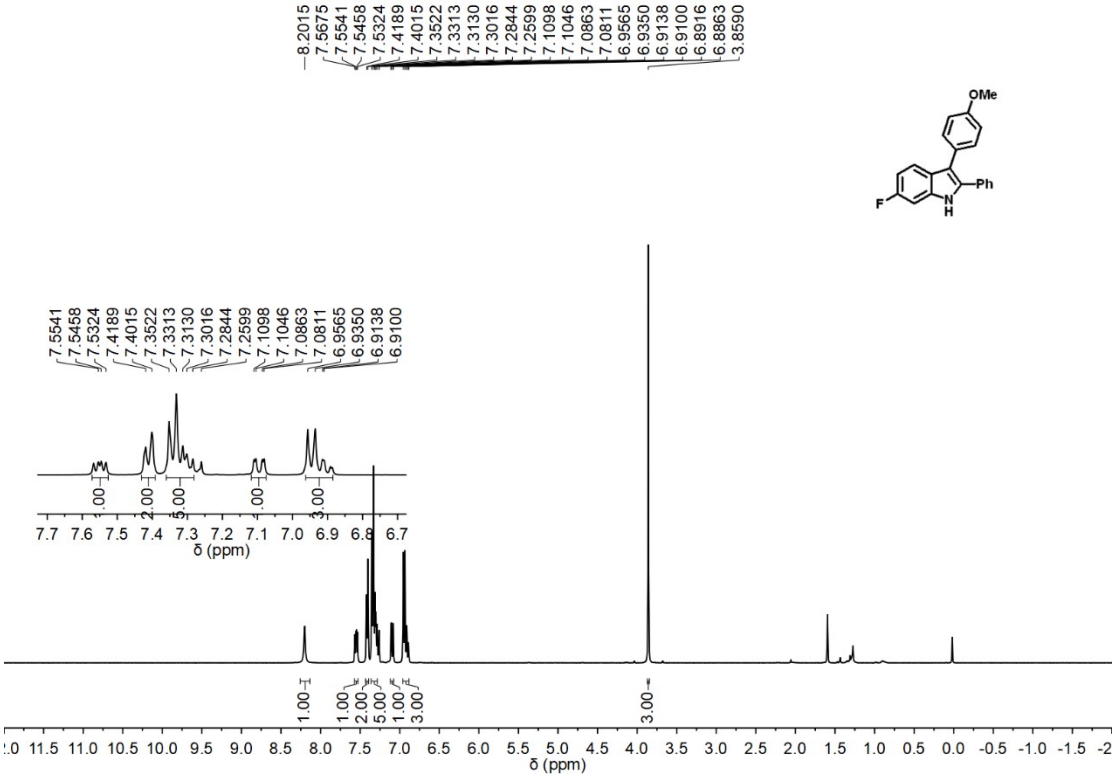
^{1}H NMR of **3an** (400 MHz, $CDCl_3$)



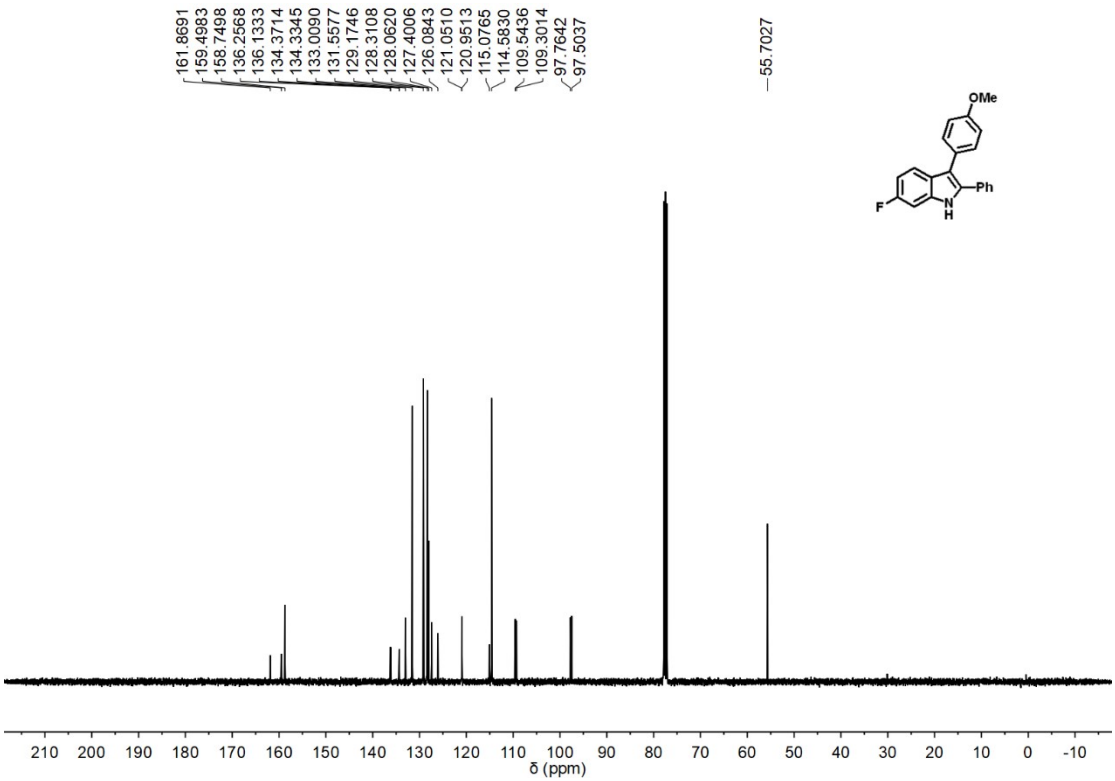
^{13}C NMR of **3an** (100 MHz, $CDCl_3$)



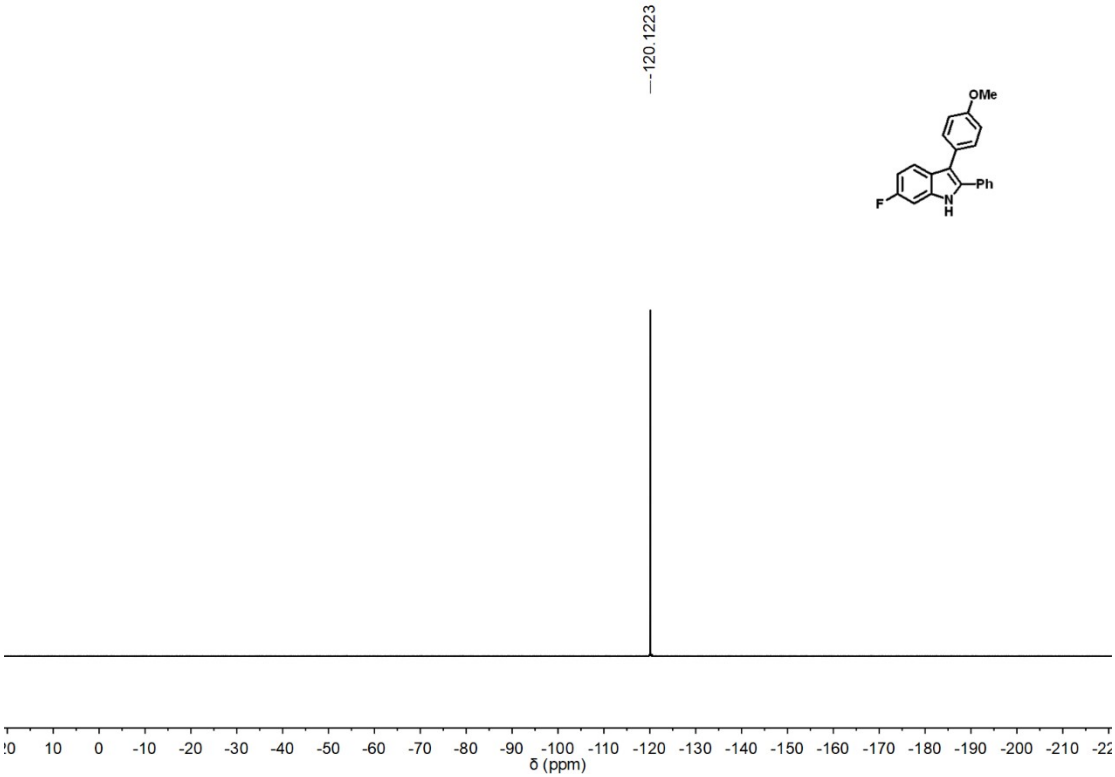
^{19}F NMR of **3an** (376 MHz, $CDCl_3$)



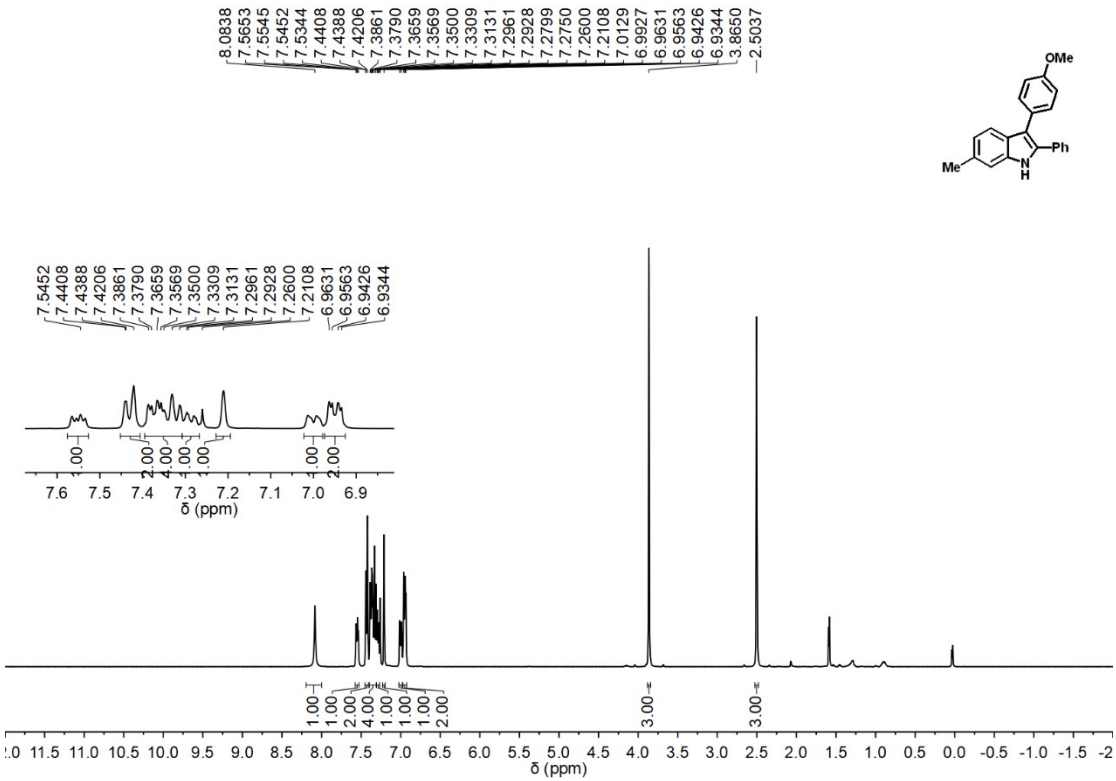
^{1}H NMR of **3ao** (400 MHz, $CDCl_3$)



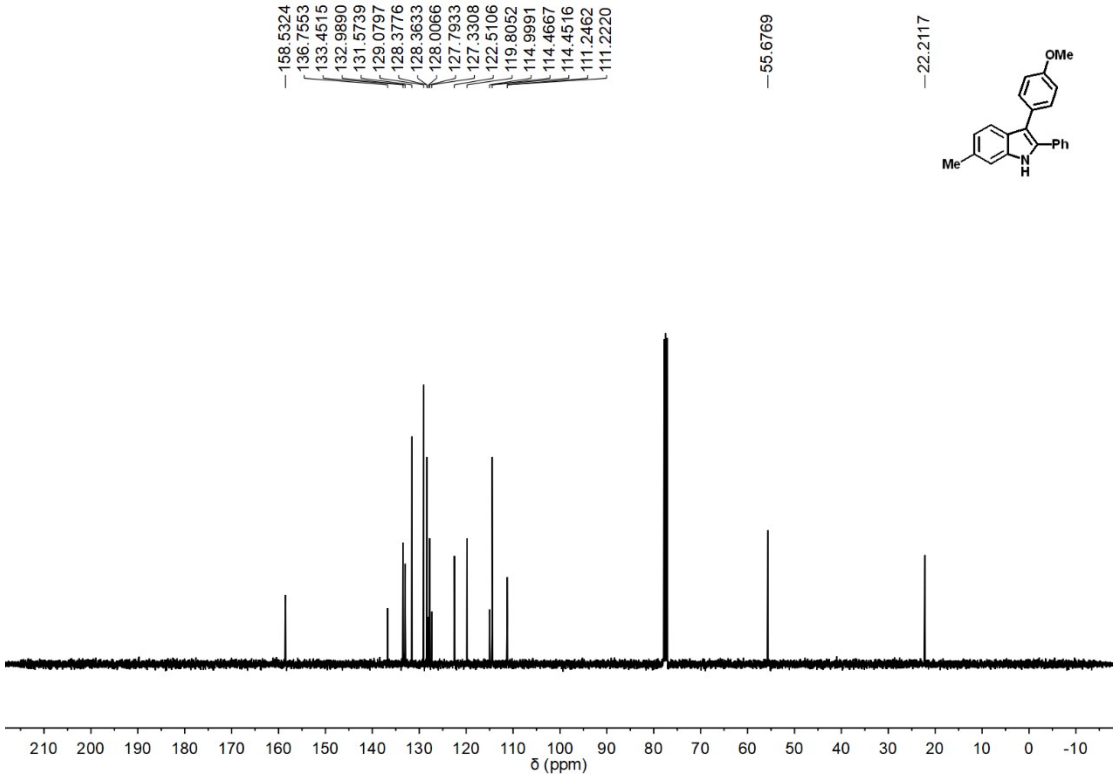
^{13}C NMR of **3ao** (100 MHz, $CDCl_3$)



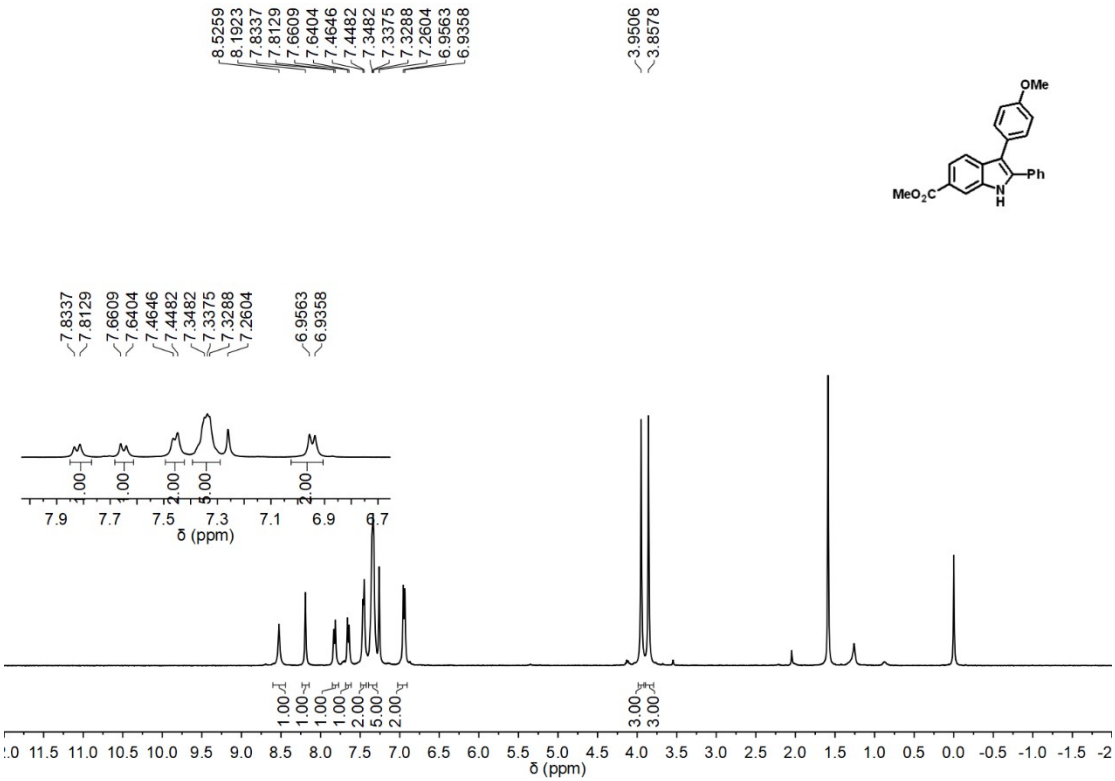
^{19}F NMR of **3ao** (376 MHz, $CDCl_3$)



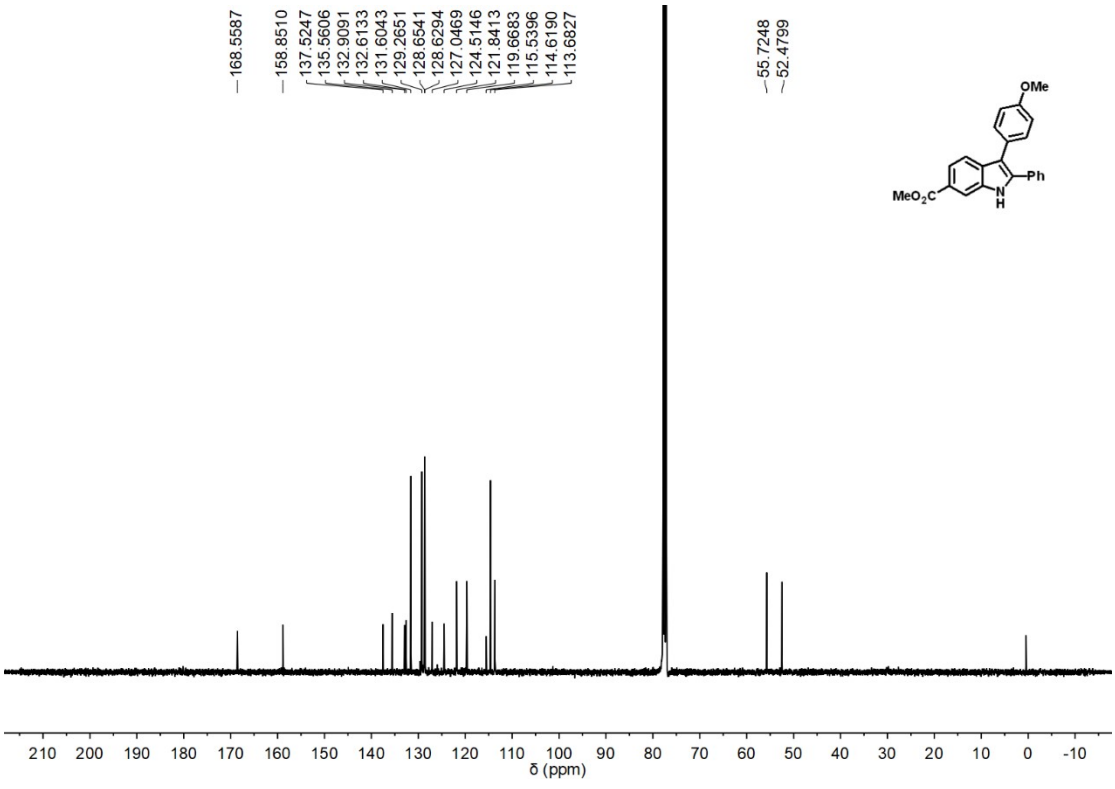
^{1}H NMR of **3ap** (400 MHz, $CDCl_3$)



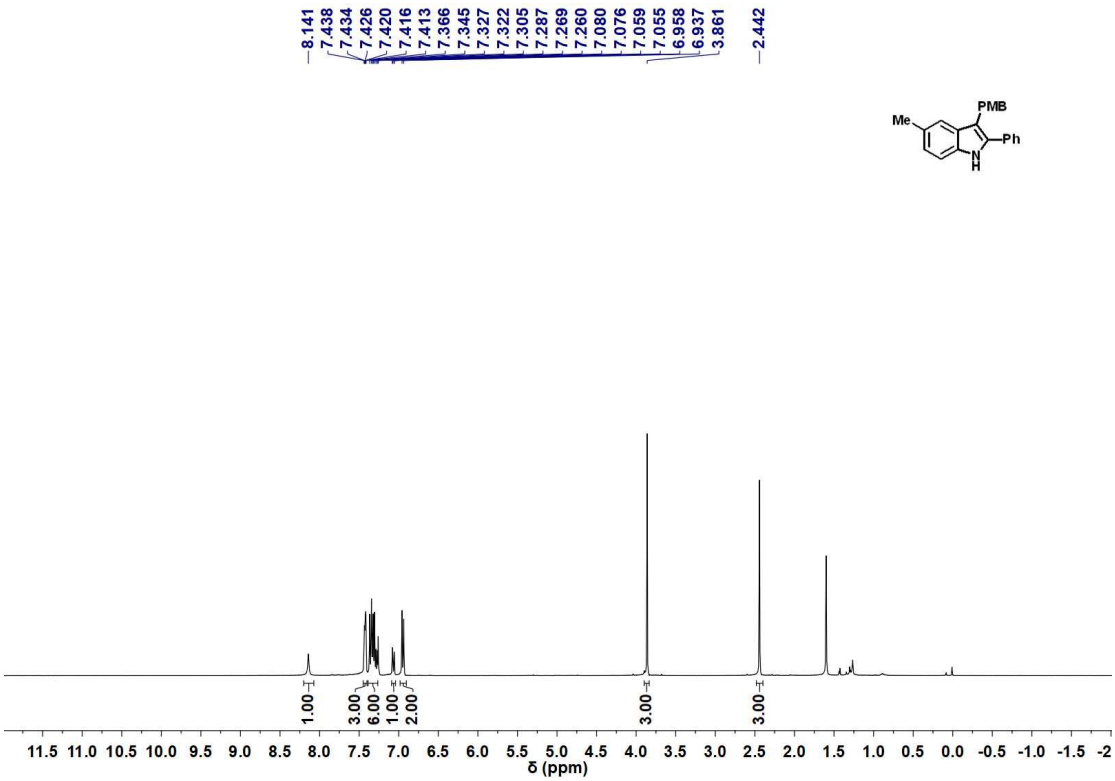
^{13}C NMR of **3ap** (100 MHz, $CDCl_3$)



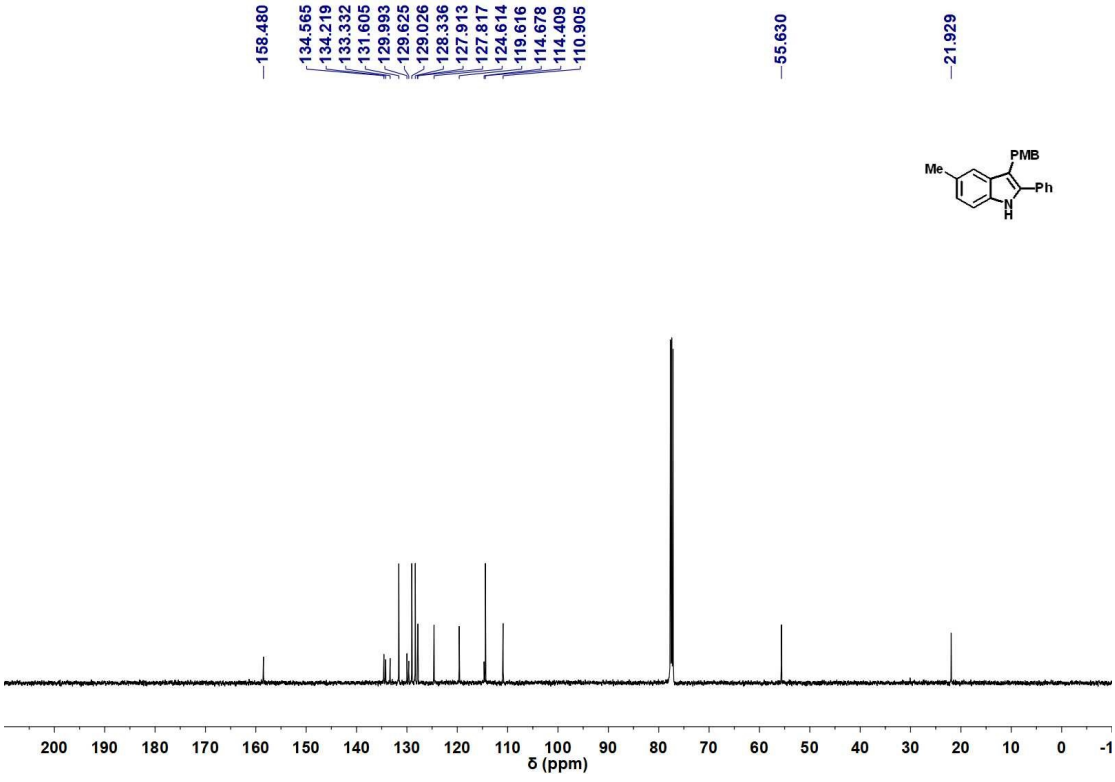
^{1}H NMR of **3aq** (400 MHz, $CDCl_3$)



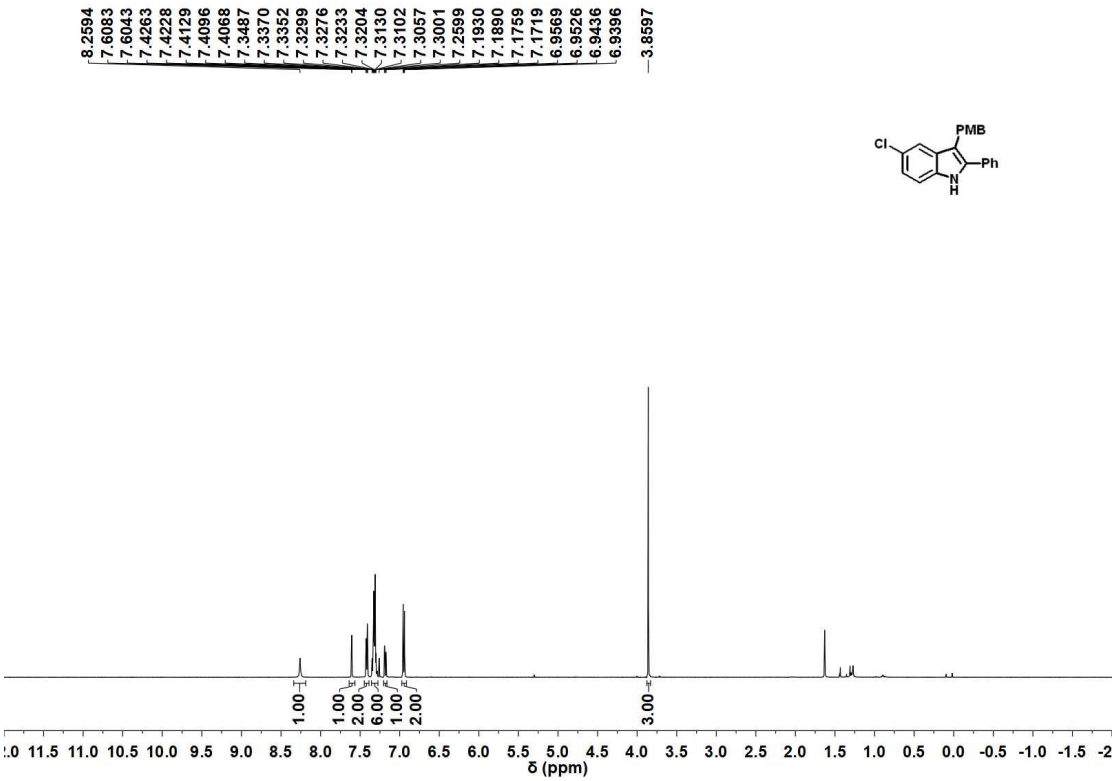
^{13}C NMR of **3aq** (125 MHz, $CDCl_3$)



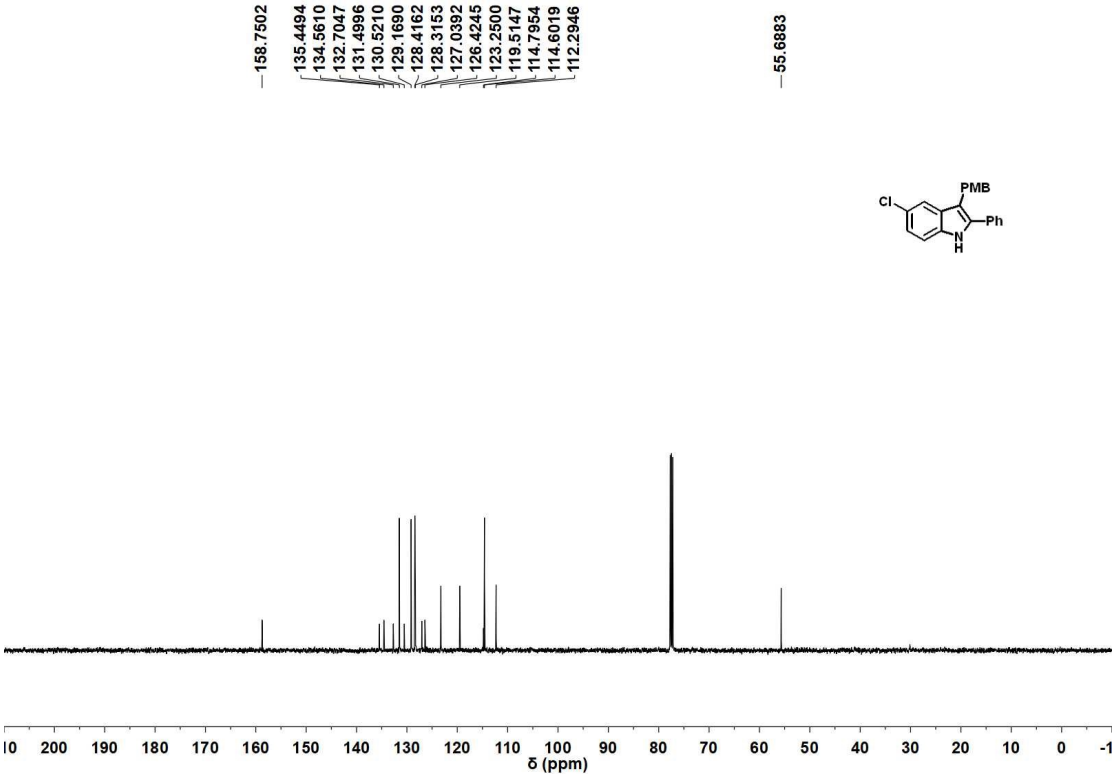
^{1}H NMR of **3ar** (400 MHz, $CDCl_3$)



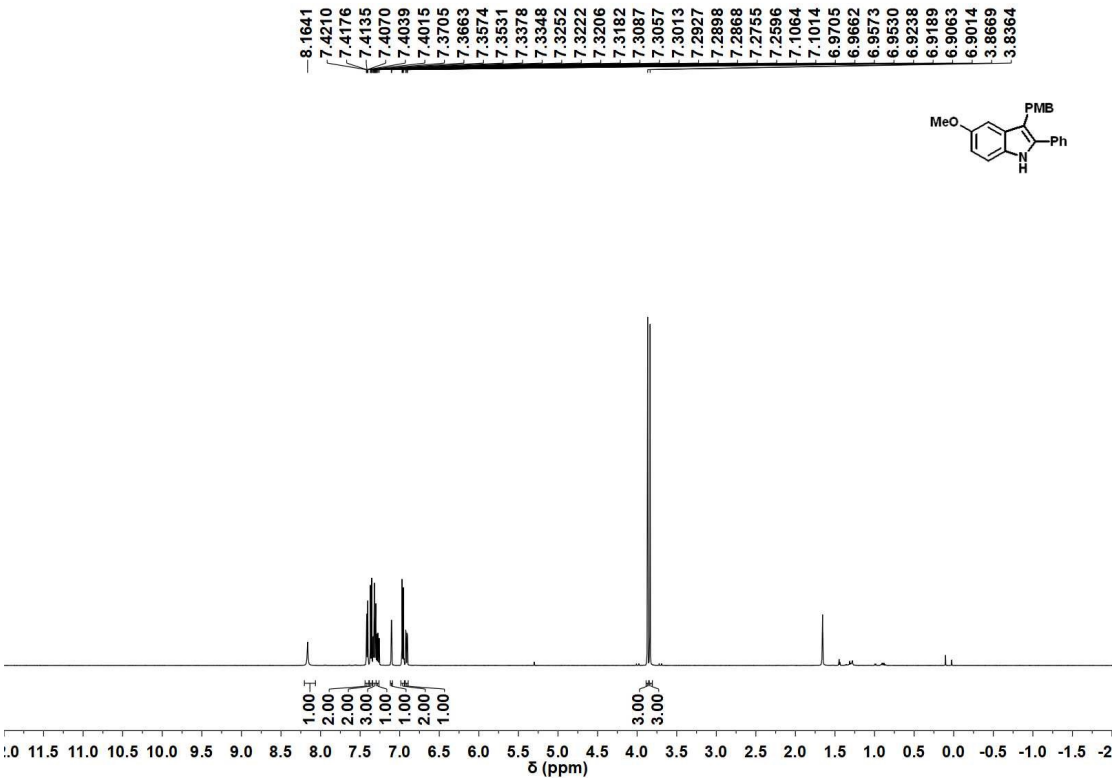
^{13}C NMR of **3ar** (125 MHz, $CDCl_3$)



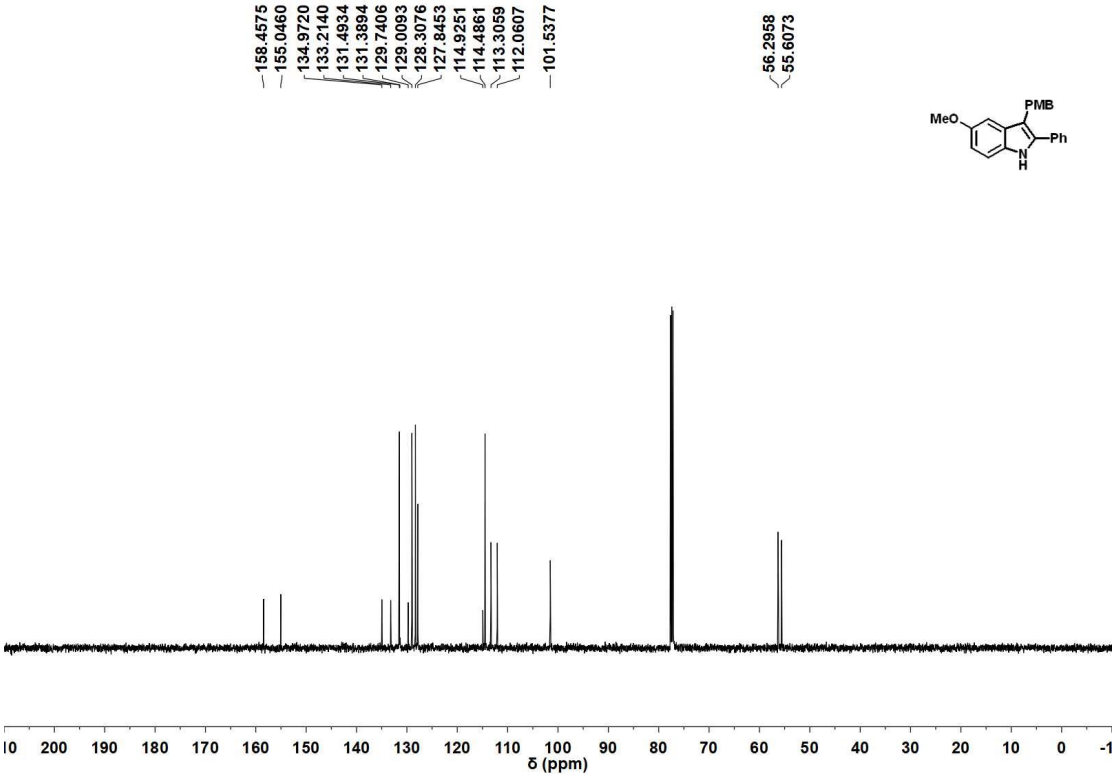
^{1}H NMR of **3as** (500 MHz, $CDCl_3$)



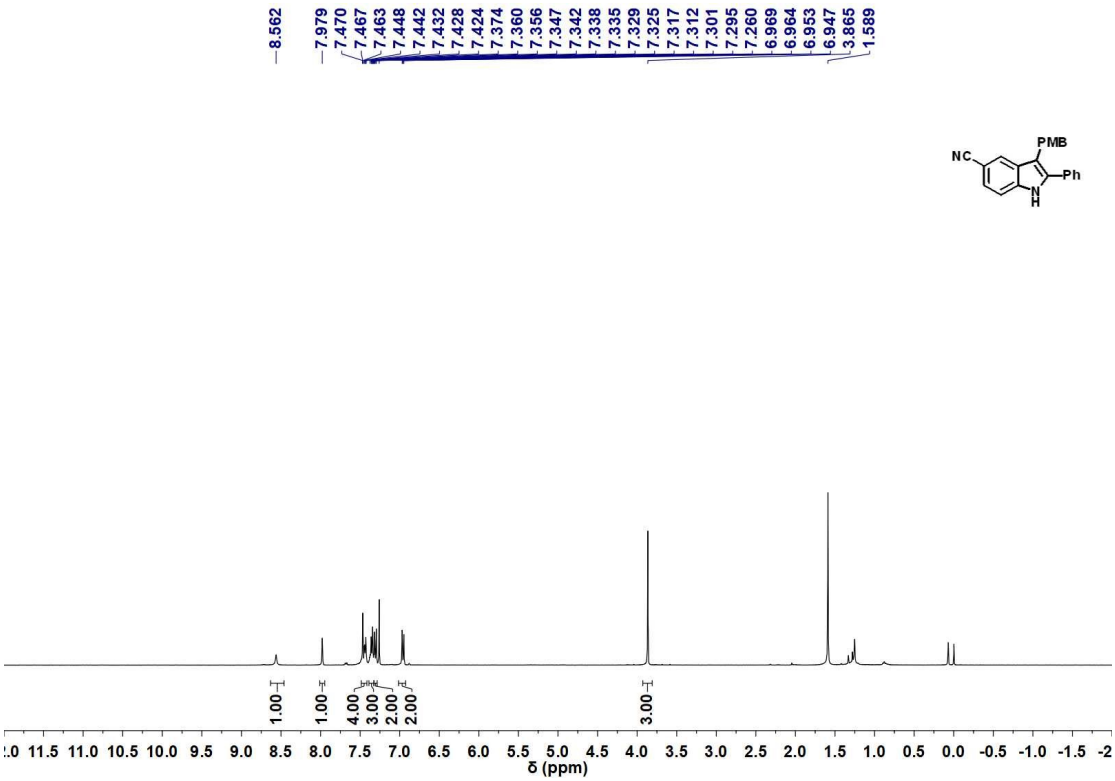
^{13}C NMR of **3as** (125 MHz, $CDCl_3$)



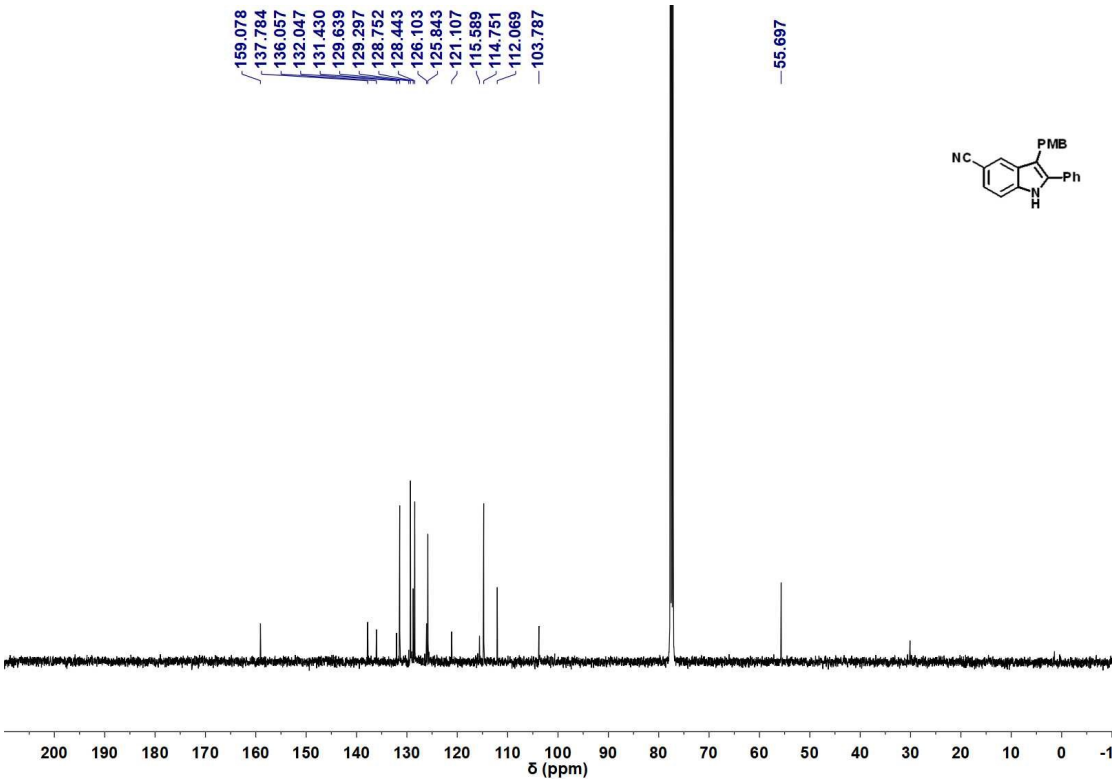
^{1}H NMR of **3at** (500 MHz, $CDCl_3$)



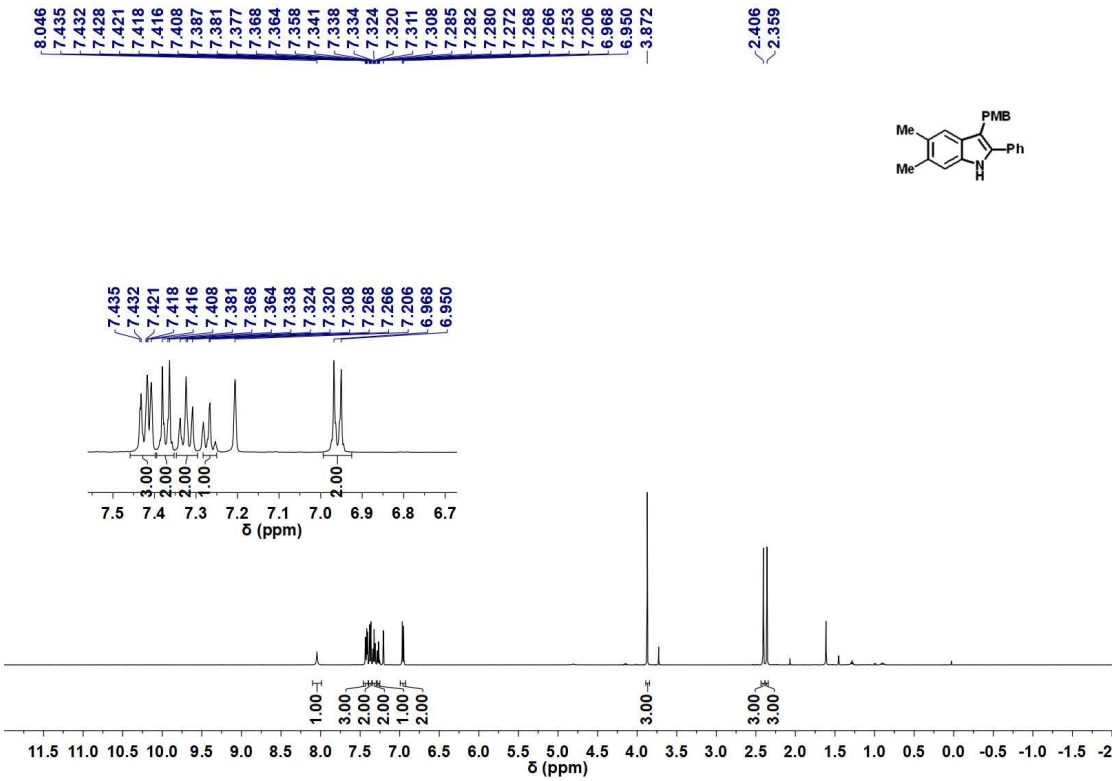
^{13}C NMR of **3at** (125 MHz, $CDCl_3$)



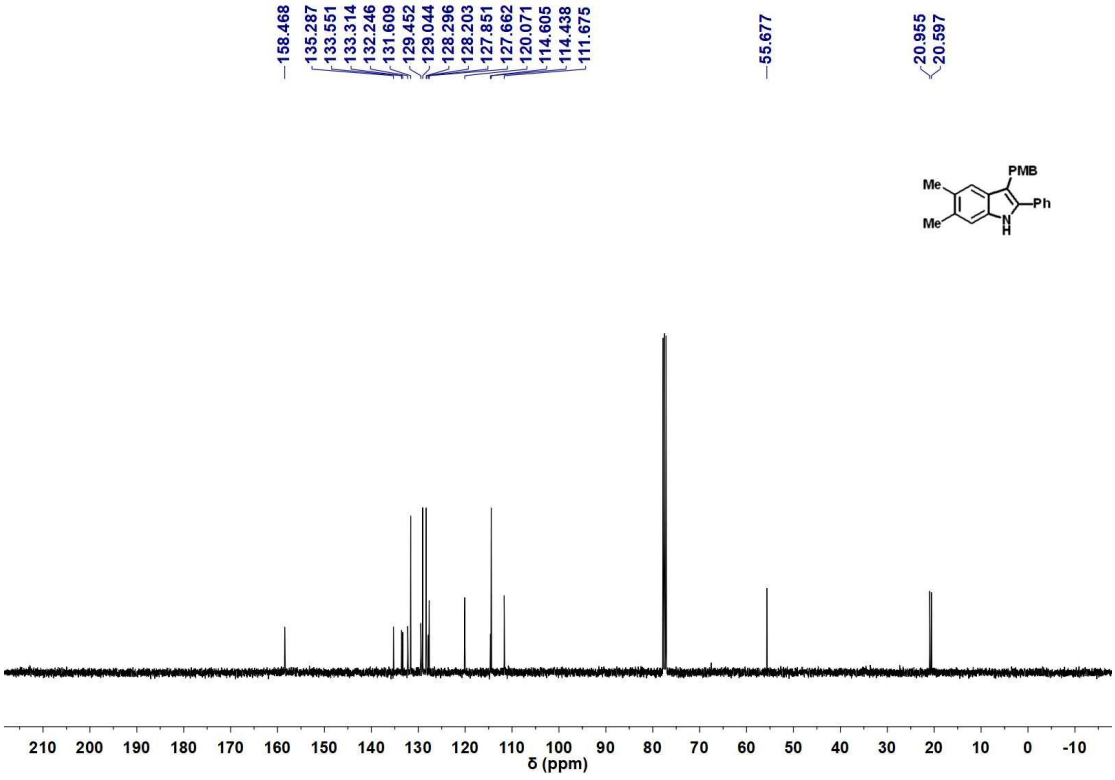
^{1}H NMR of **3au** (400 MHz, $CDCl_3$)



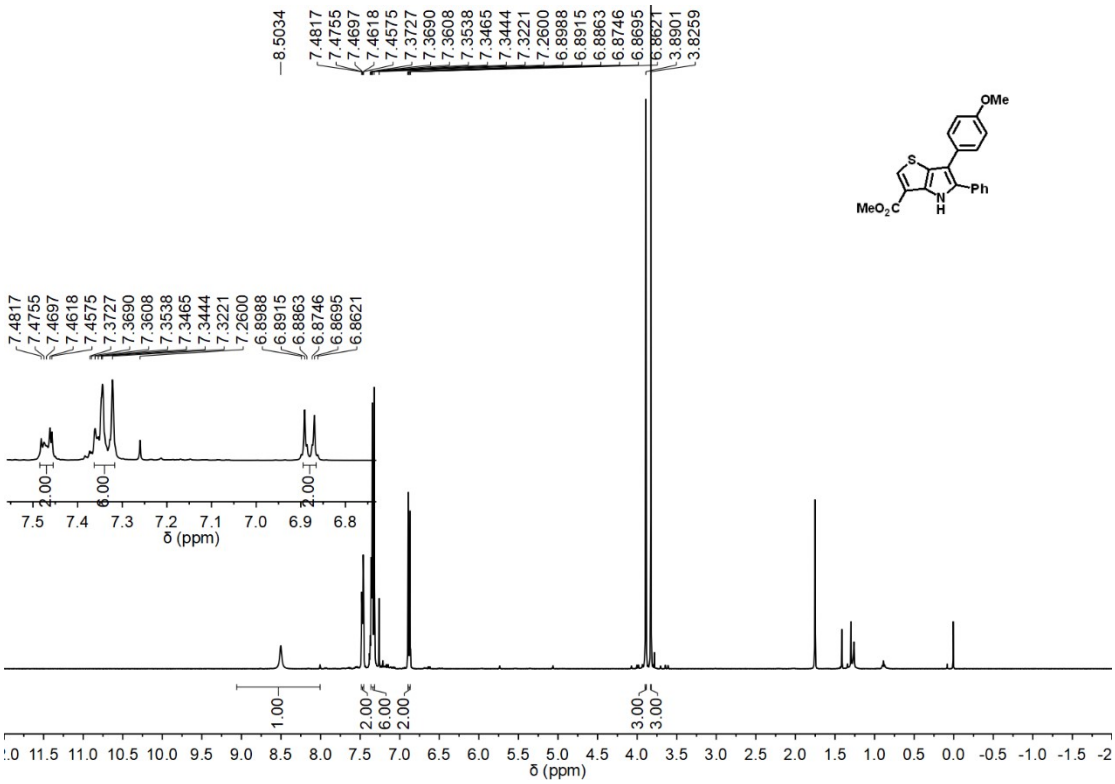
^{13}C NMR of **3au** (125 MHz, $CDCl_3$)



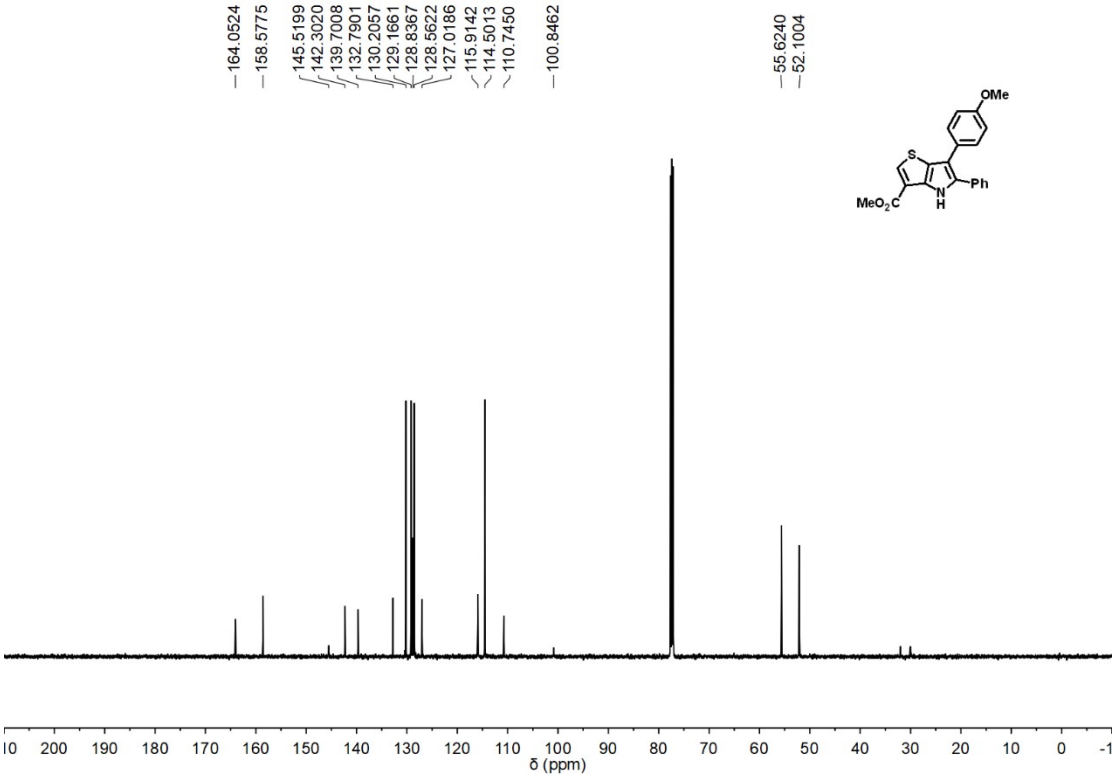
^{1}H NMR of **3av** (500 MHz, $CDCl_3$)



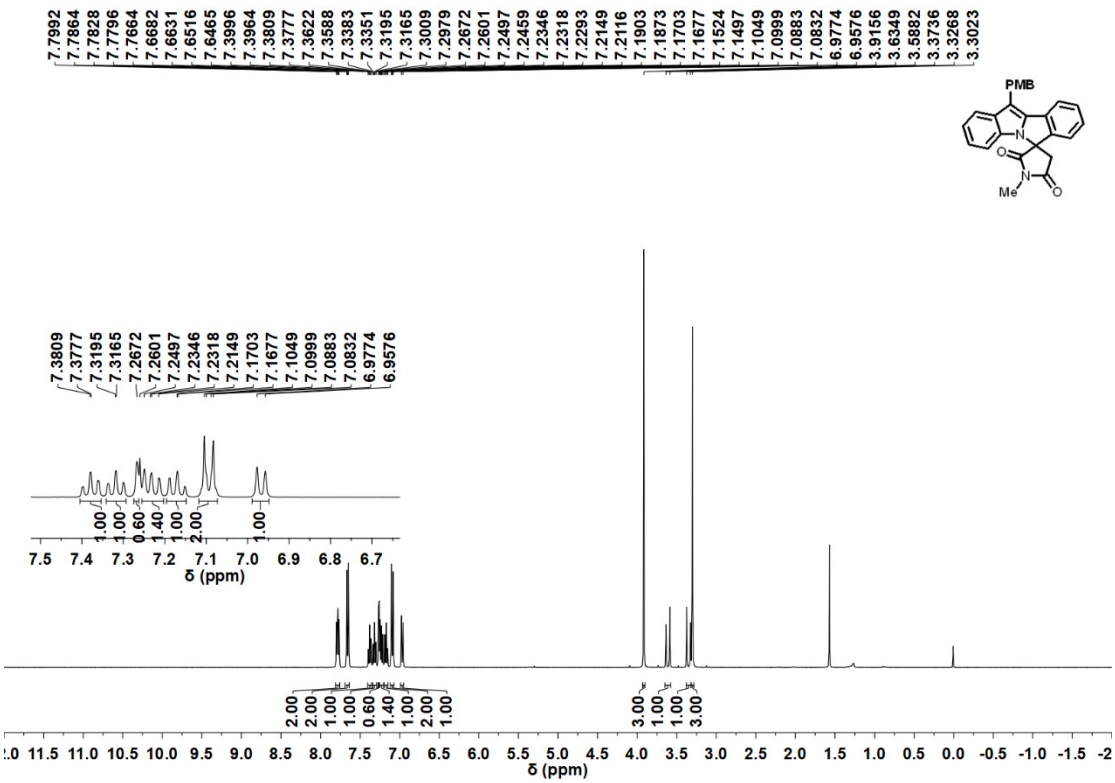
^{13}C NMR of **3av** (100 MHz, $CDCl_3$)



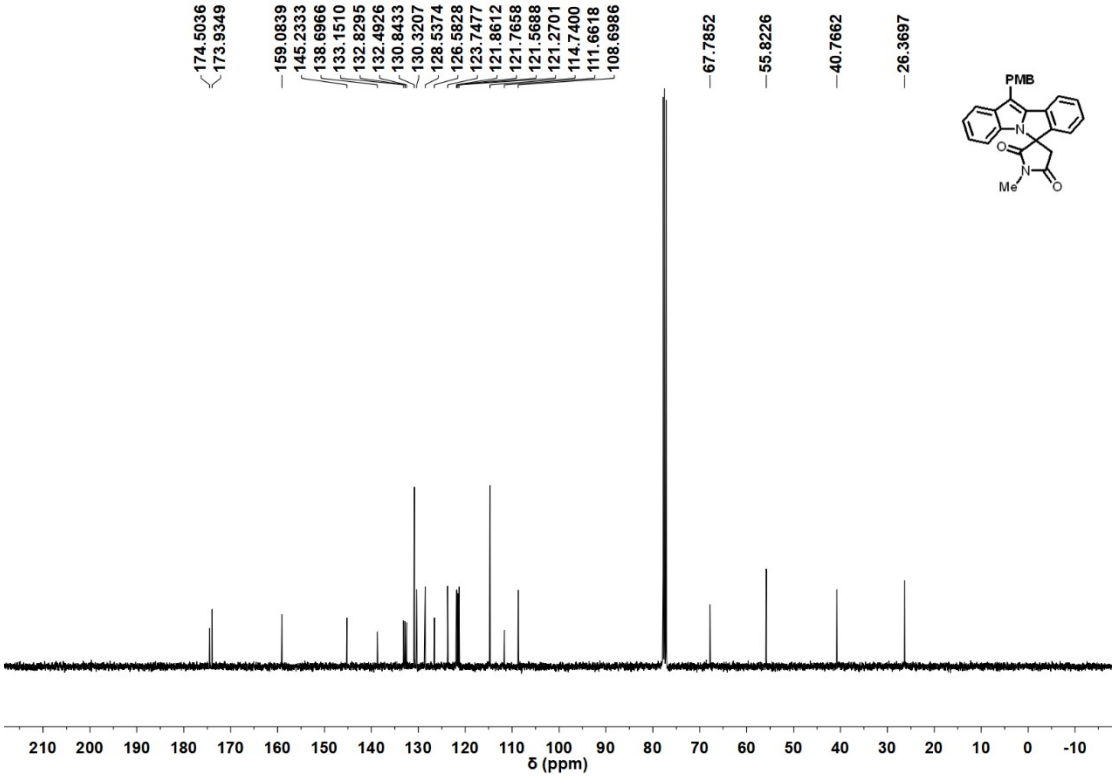
^{1}H NMR of **3aw** (400 MHz, $CDCl_3$)



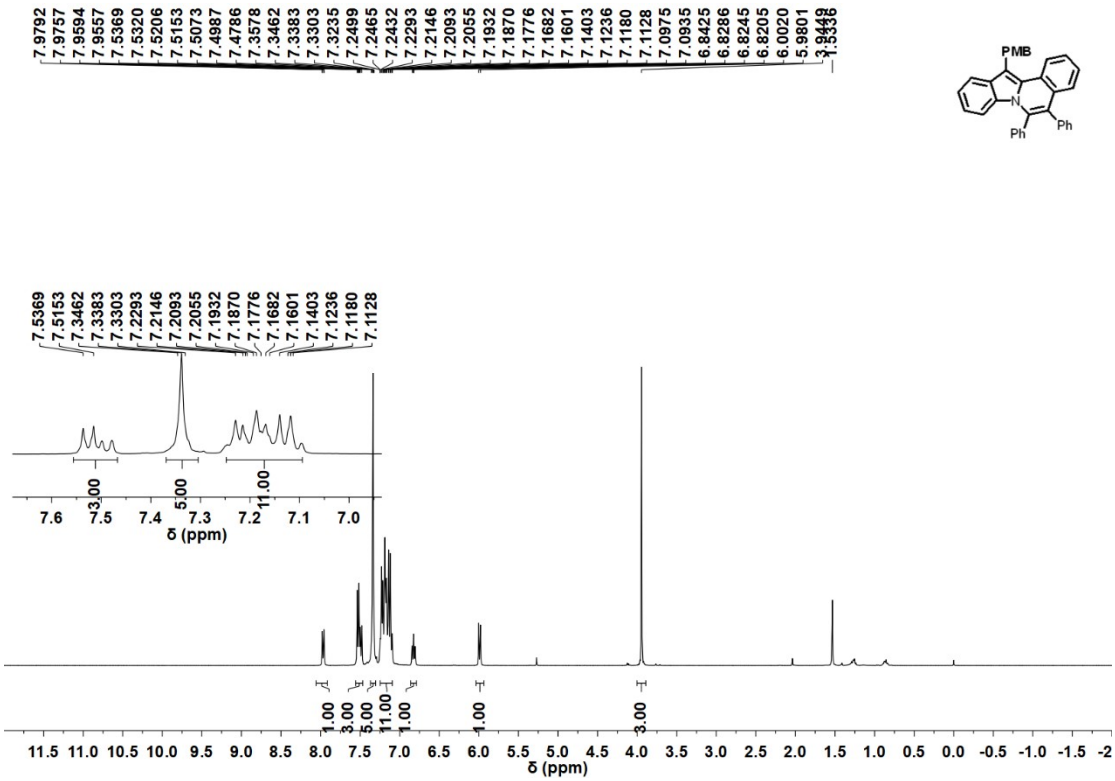
^{13}C NMR of **3aw** (125 MHz, $CDCl_3$)



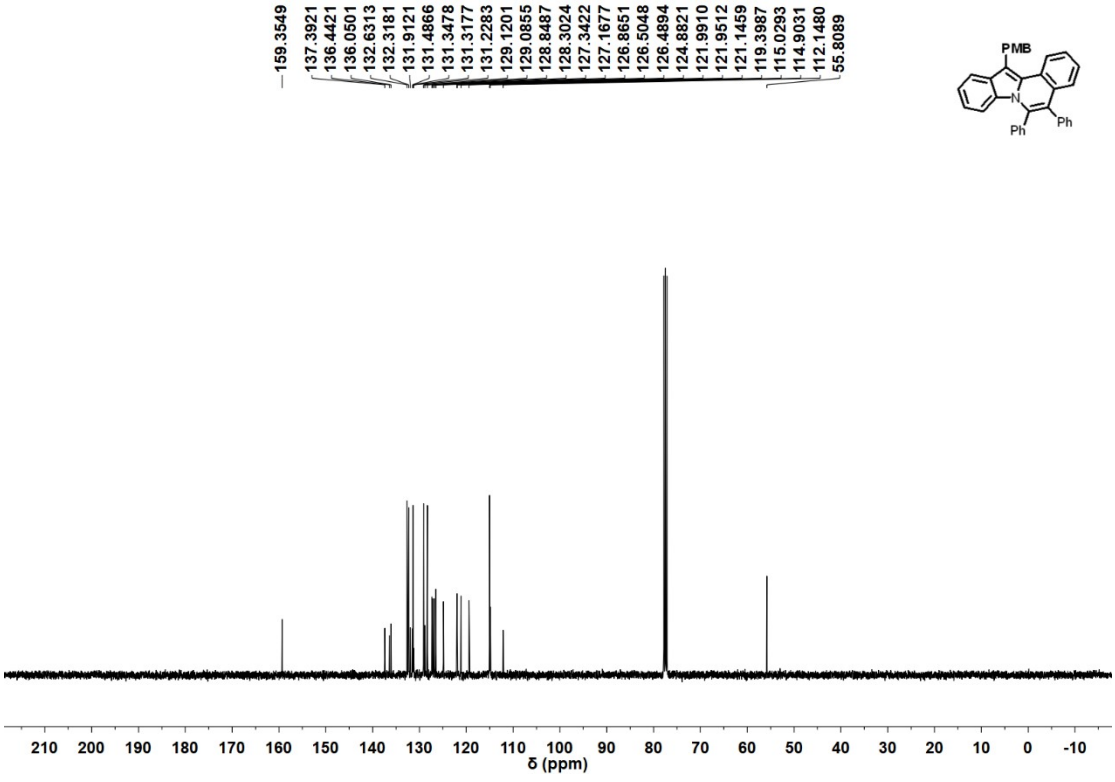
^{1}H NMR of **5** (400 MHz, $CDCl_3$)



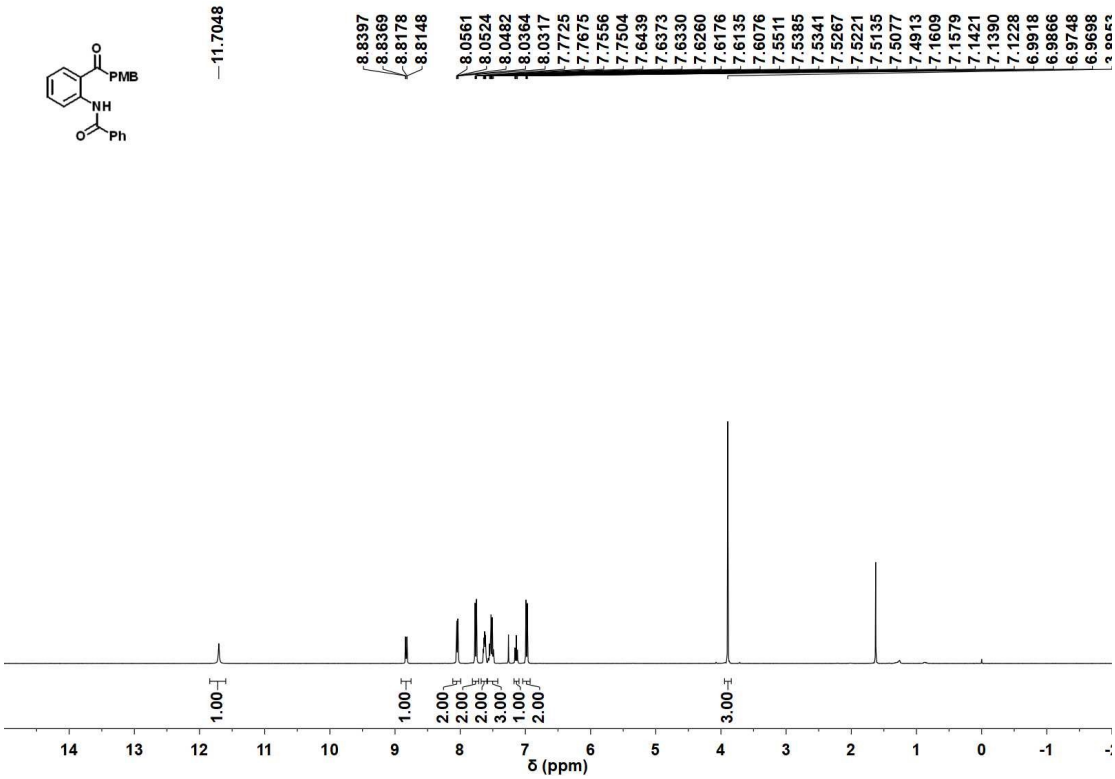
^{13}C NMR of **5** (100 MHz, $CDCl_3$)



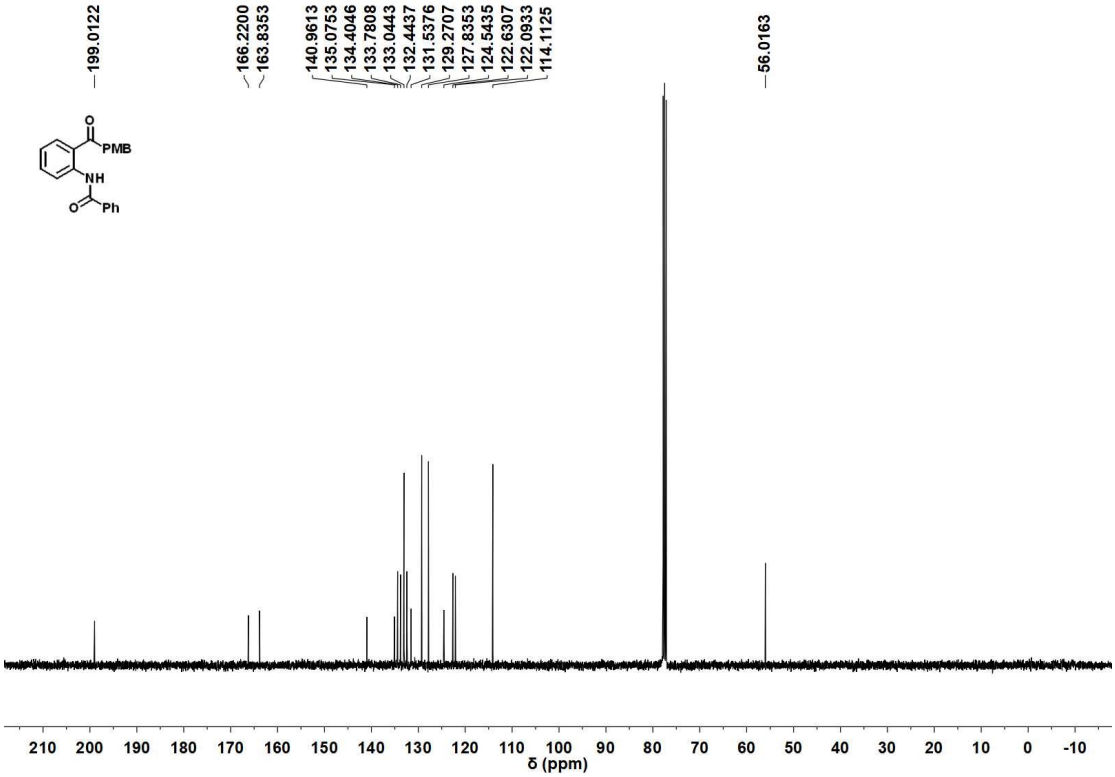
^{1}H NMR of **7** (400 MHz, $CDCl_3$)



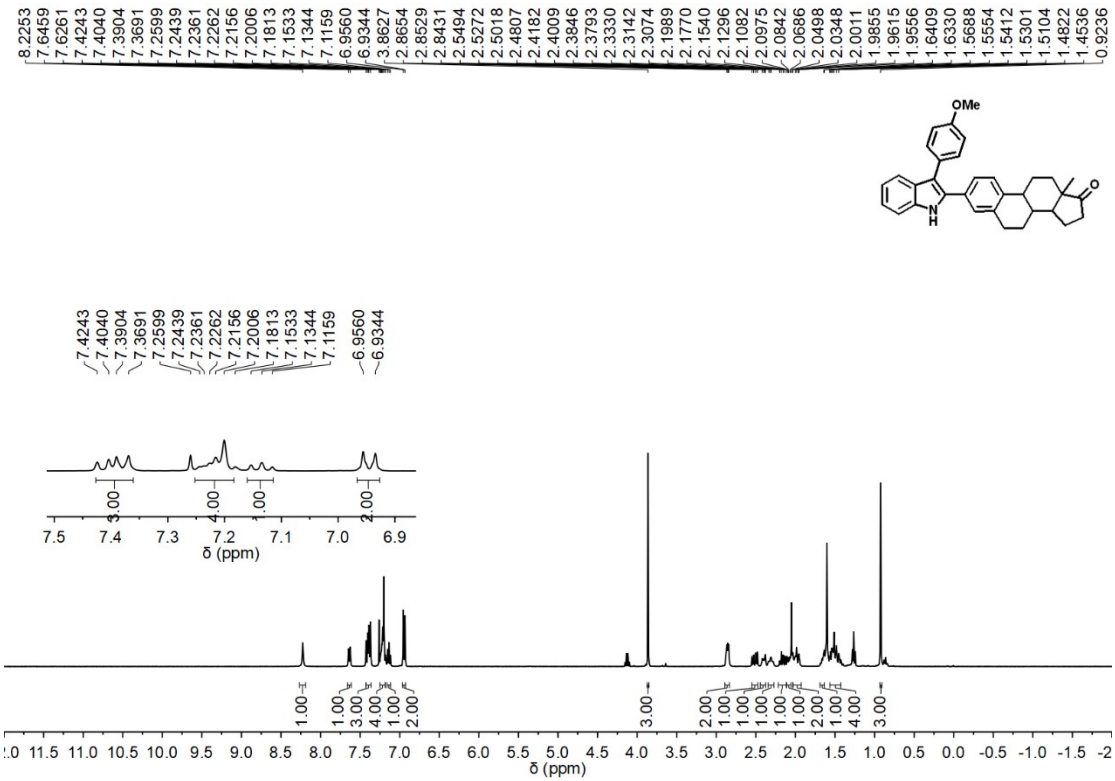
^{13}C NMR of **7** (100 MHz, $CDCl_3$)



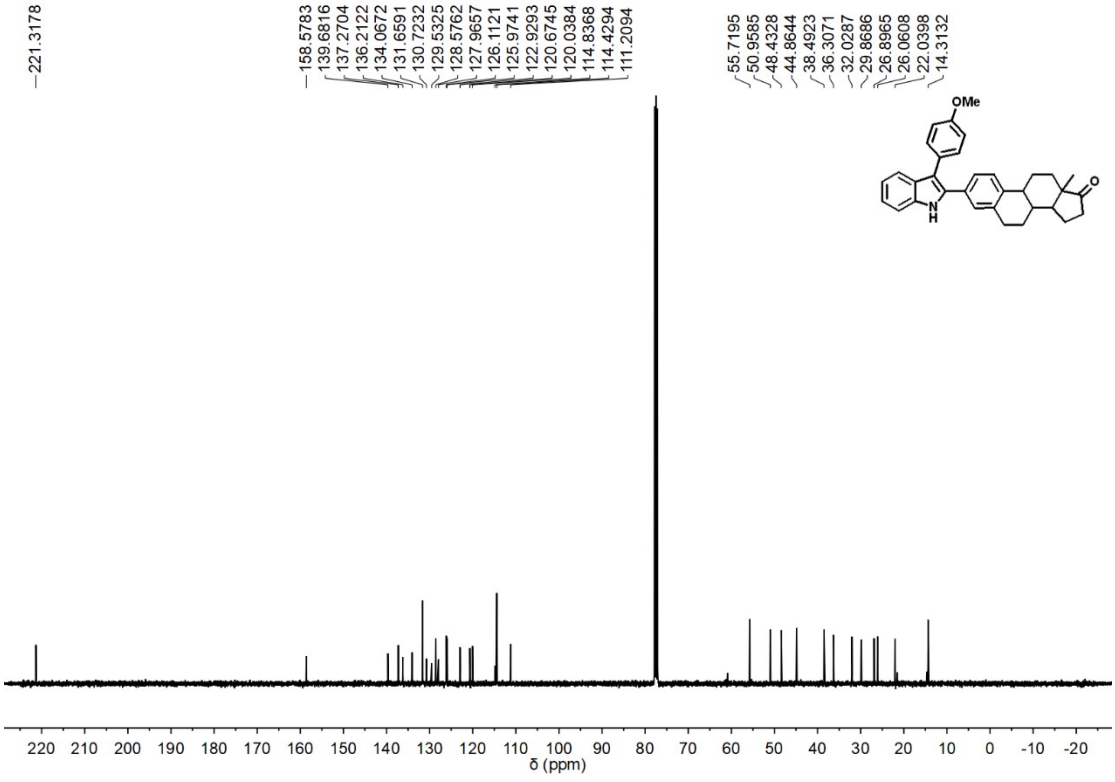
^{1}H NMR of **8** (400 MHz, $CDCl_3$)



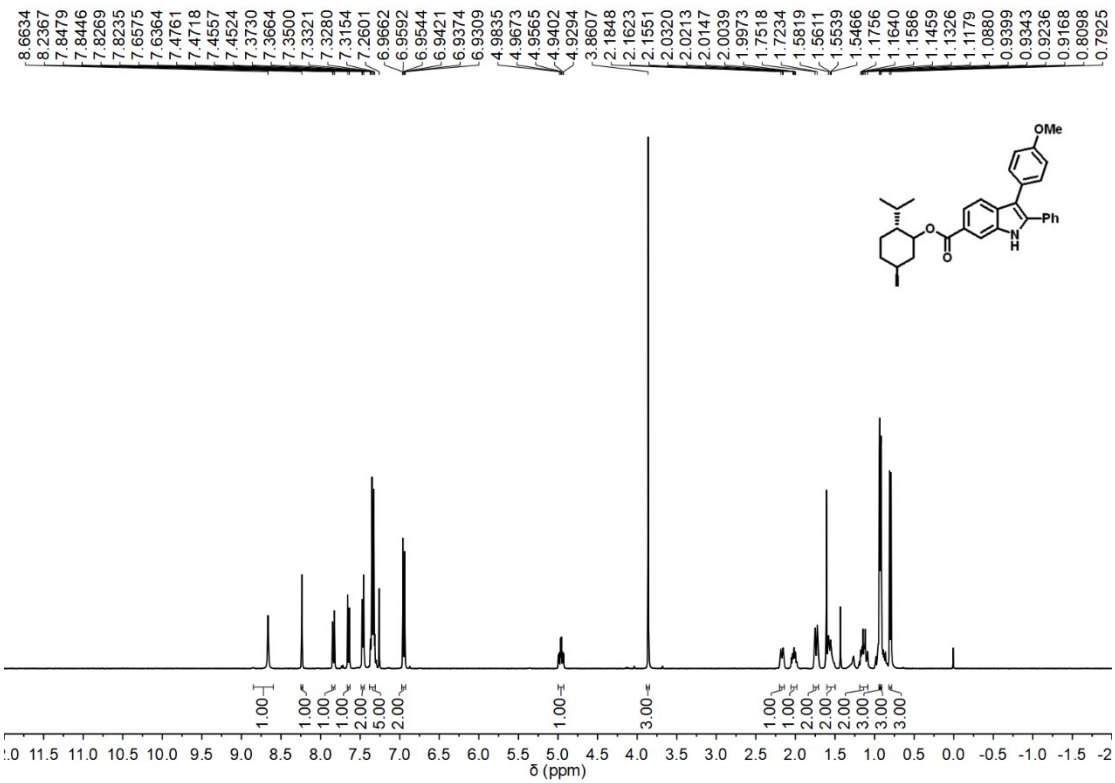
^{13}C NMR of **8** (100 MHz, $CDCl_3$)



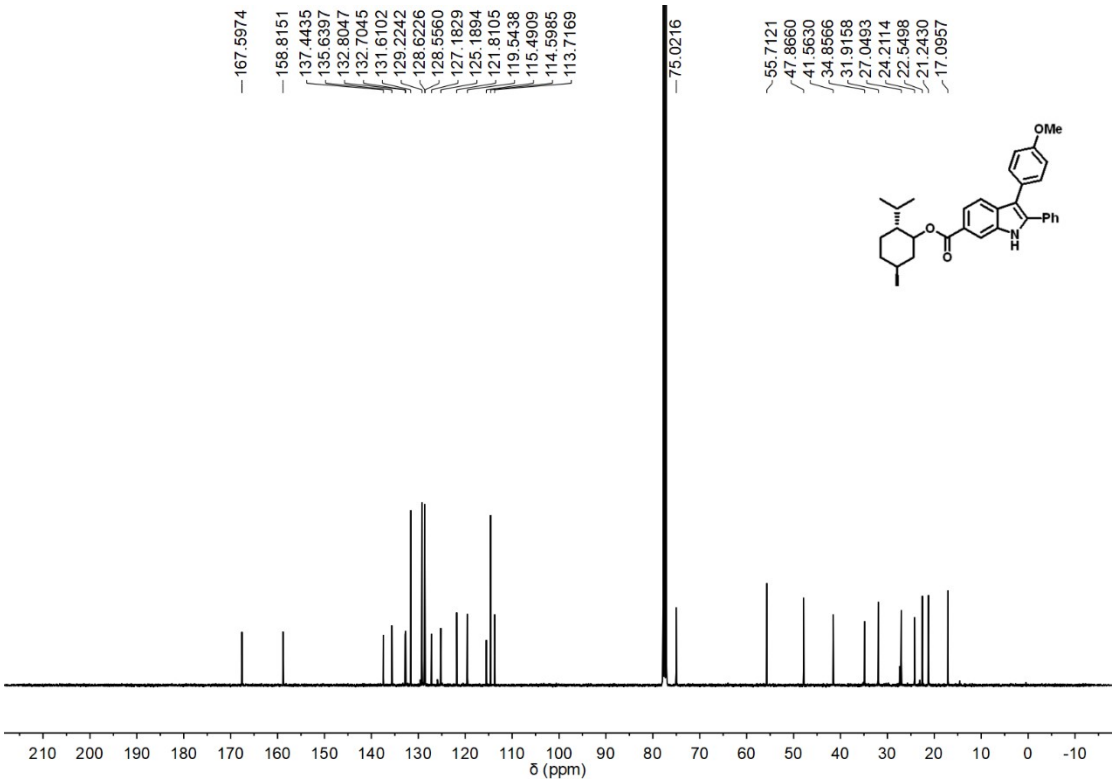
^{1}H NMR of **9** (400 MHz, $CDCl_3$)



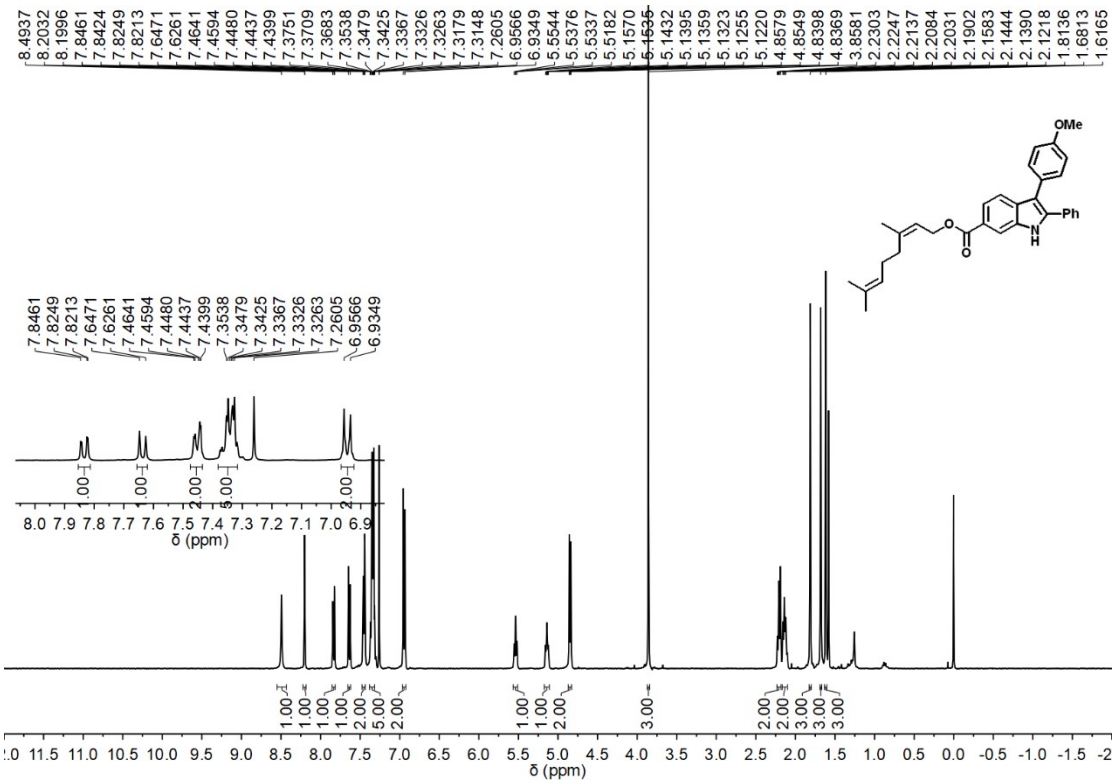
^{13}C NMR of **9** (100 MHz, $CDCl_3$)



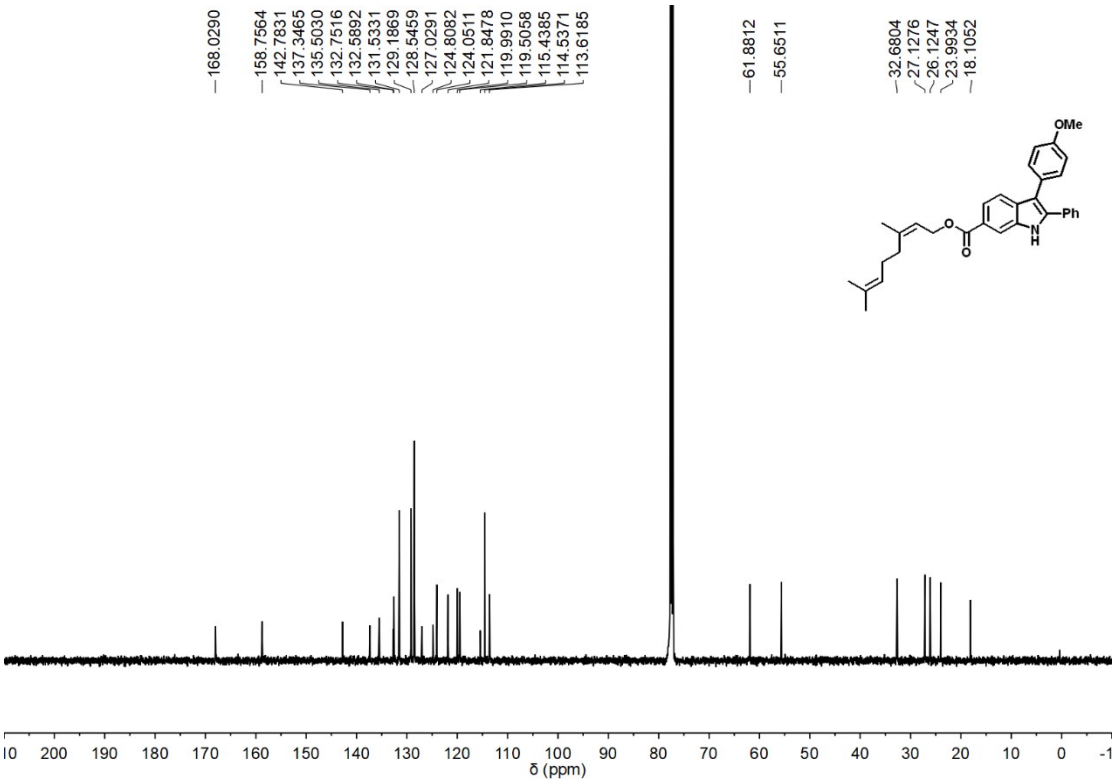
^{1}H NMR of **10** (400 MHz, $CDCl_3$)



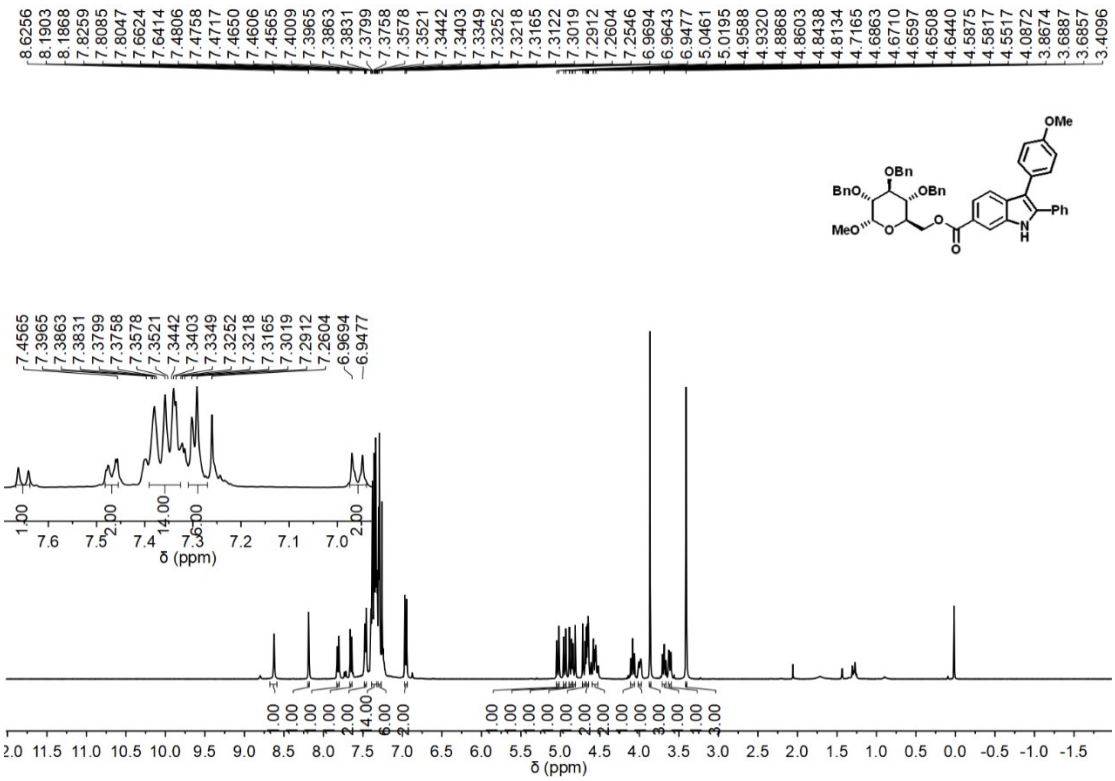
^{13}C NMR of **10** (100 MHz, $CDCl_3$)



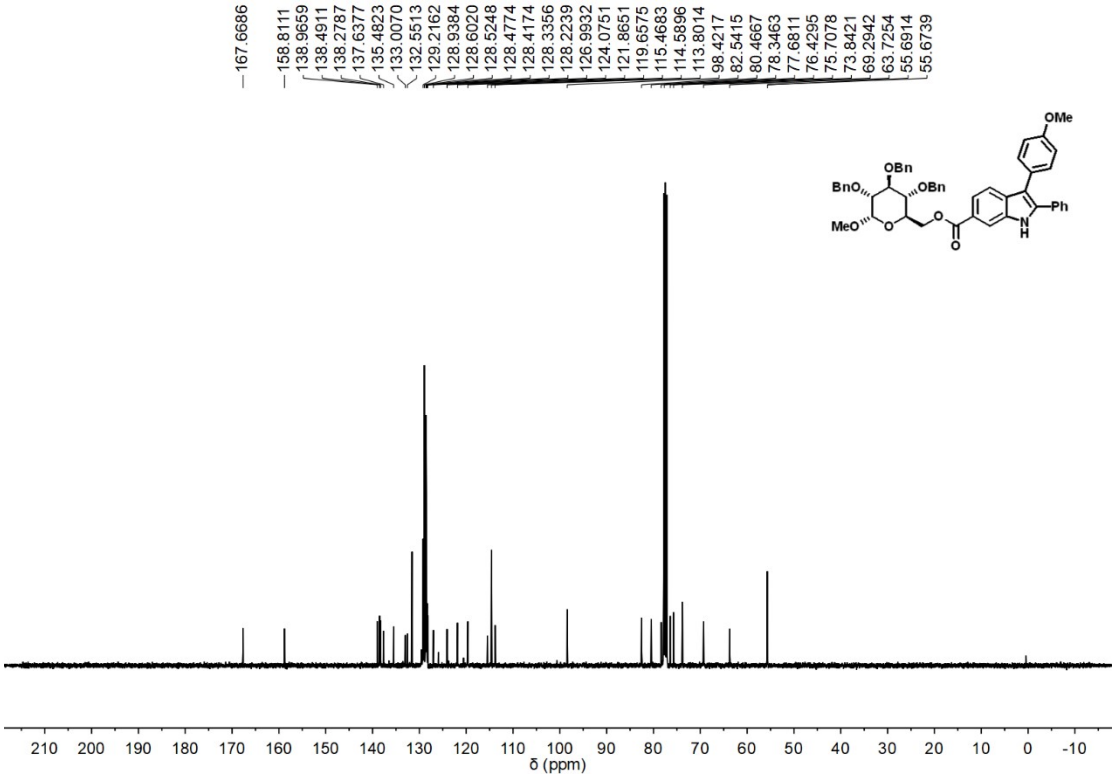
^{1}H NMR of **11** (400 MHz, $CDCl_3$)



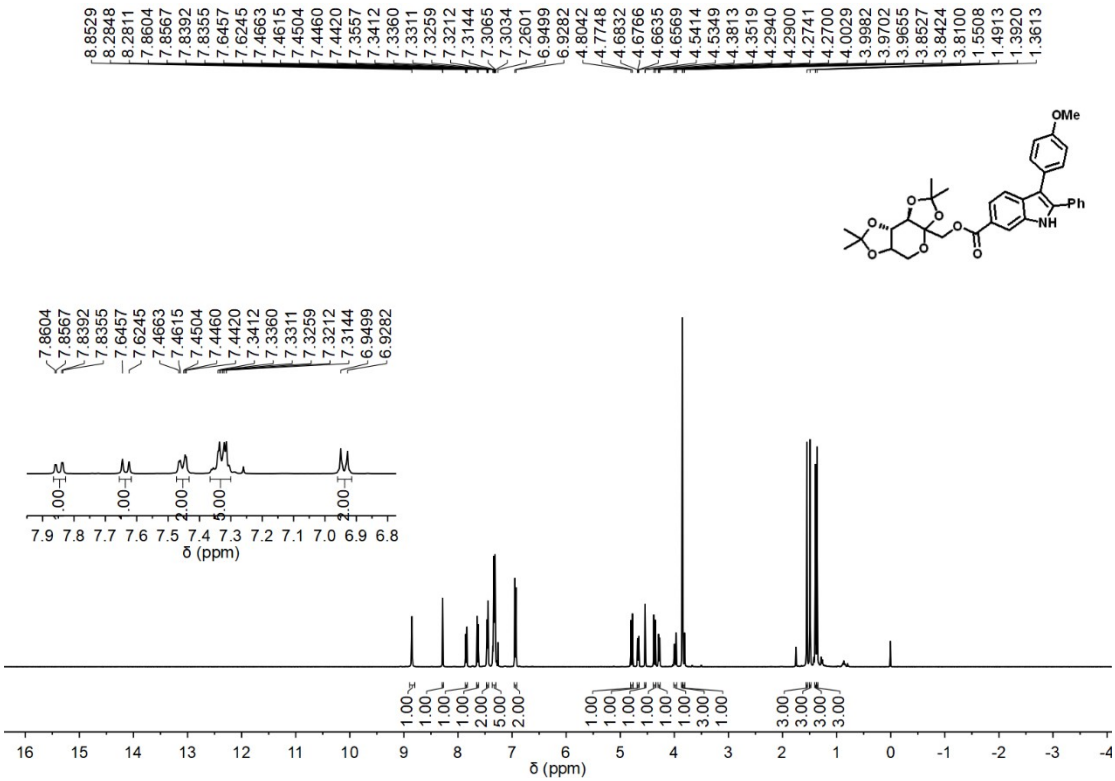
^{13}C NMR of **11** (125 MHz, $CDCl_3$)



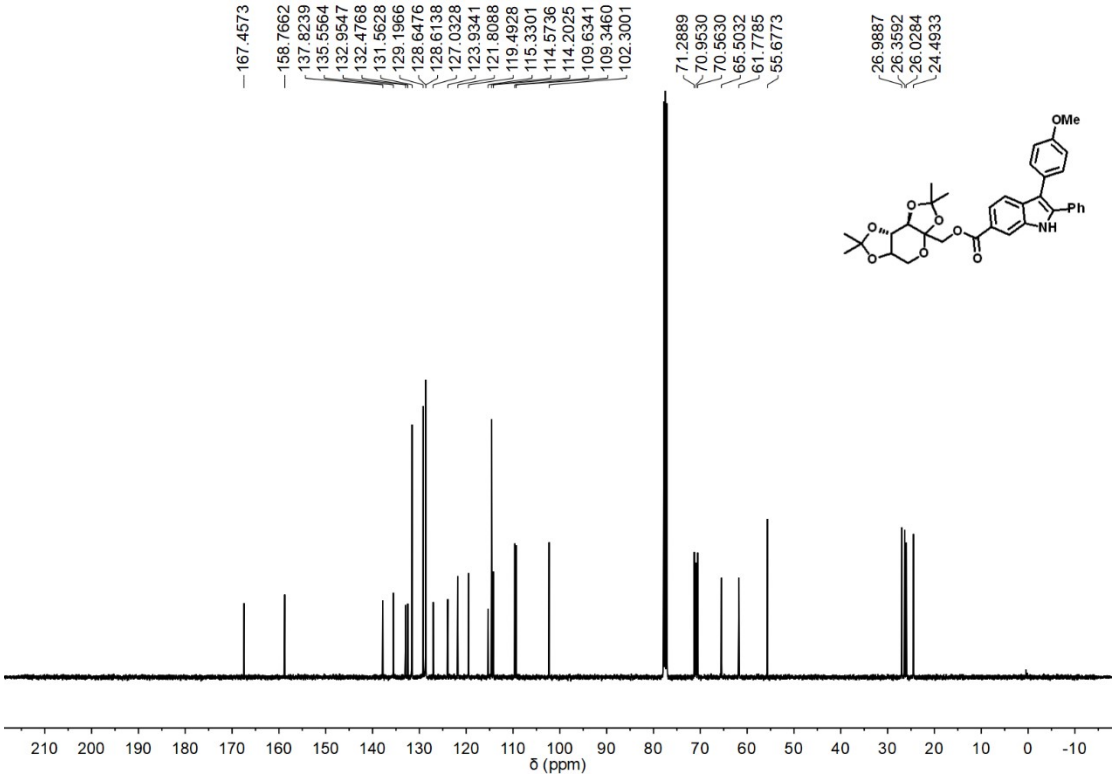
^{1}H NMR of **12** (400 MHz, $CDCl_3$)



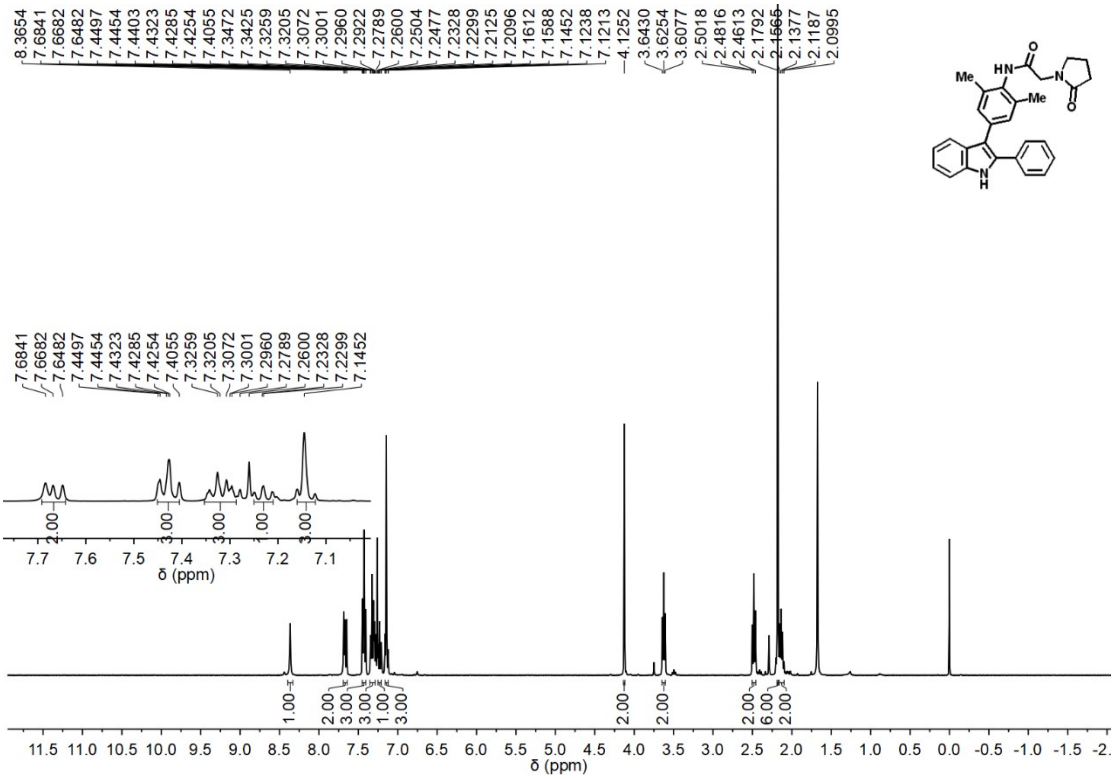
^{13}C NMR of **12** (100 MHz, $CDCl_3$)



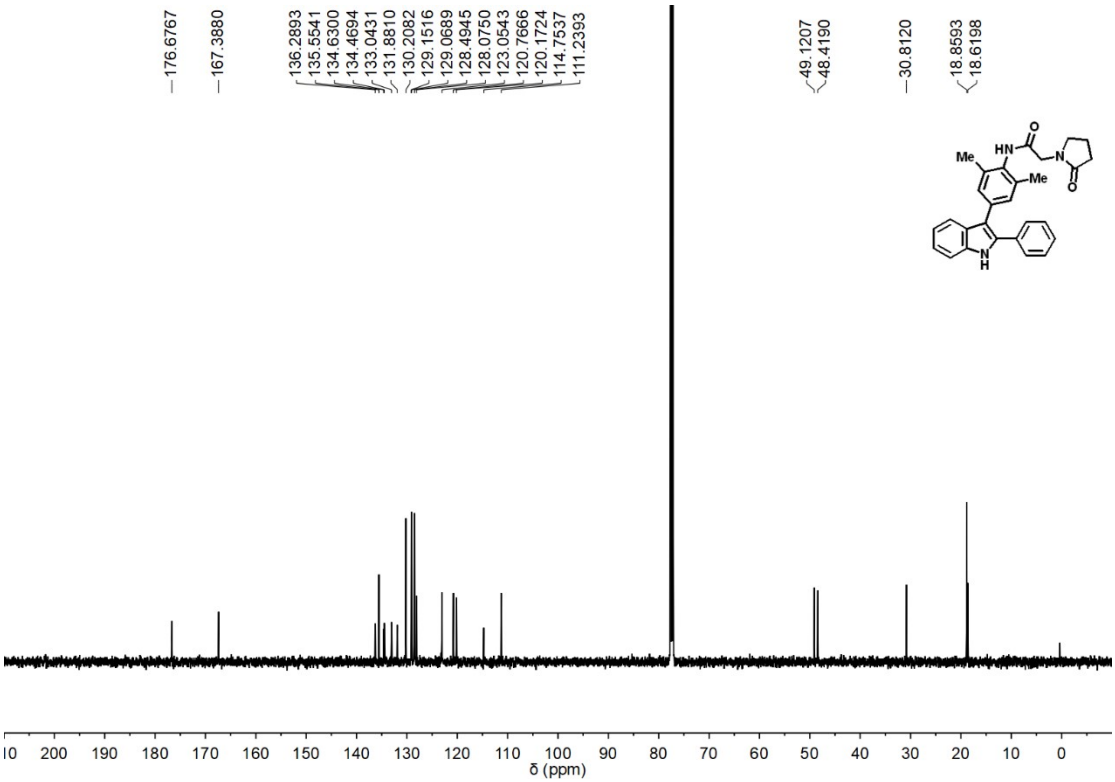
^{1}H NMR of **13** (400 MHz, $CDCl_3$)



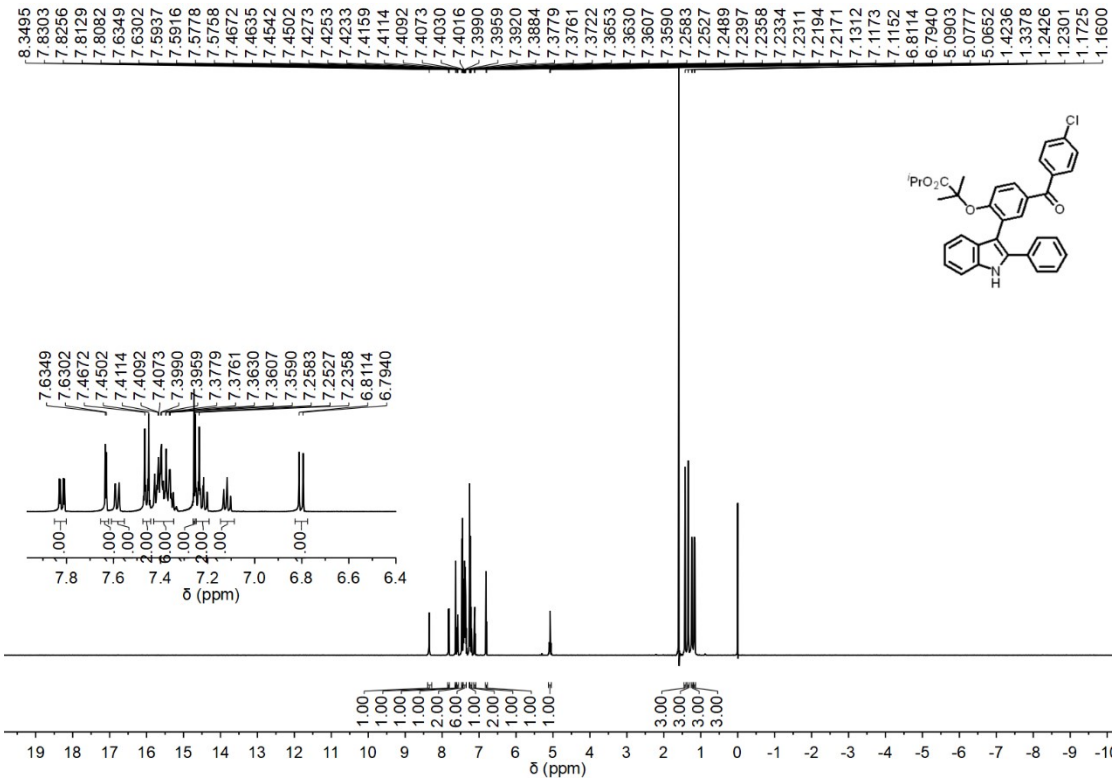
^{13}C NMR of **13** (100 MHz, $CDCl_3$)



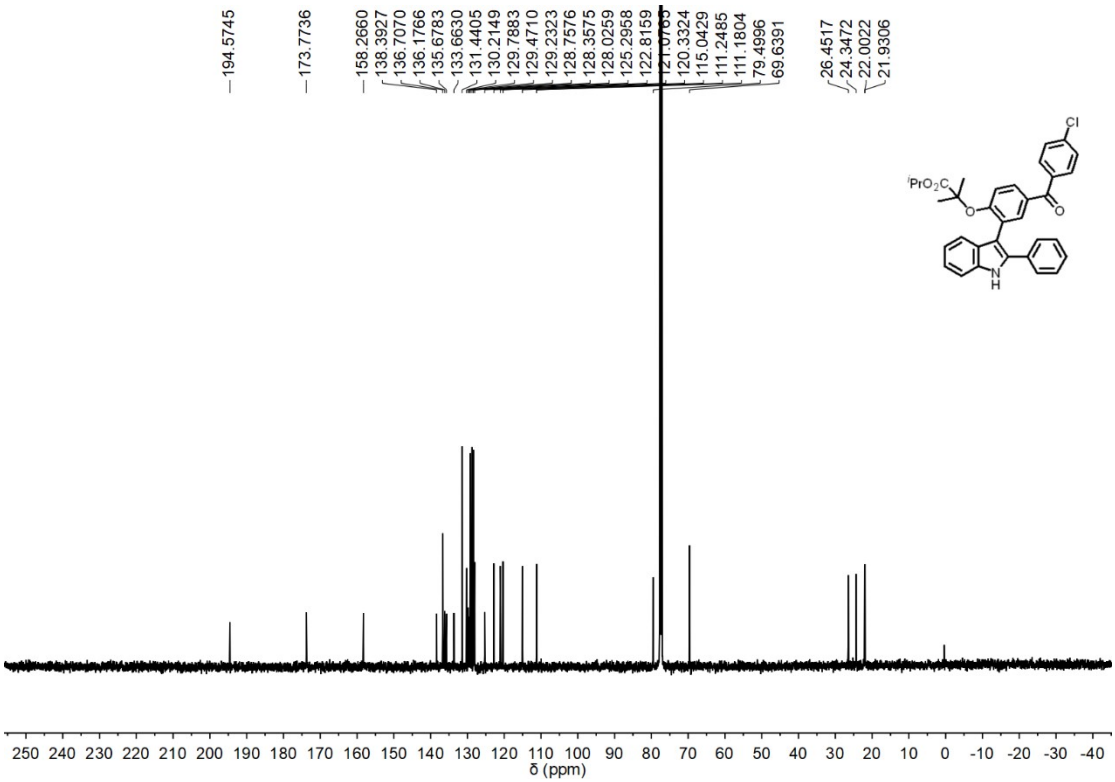
^{1}H NMR of **14** (500 MHz, $CDCl_3$)



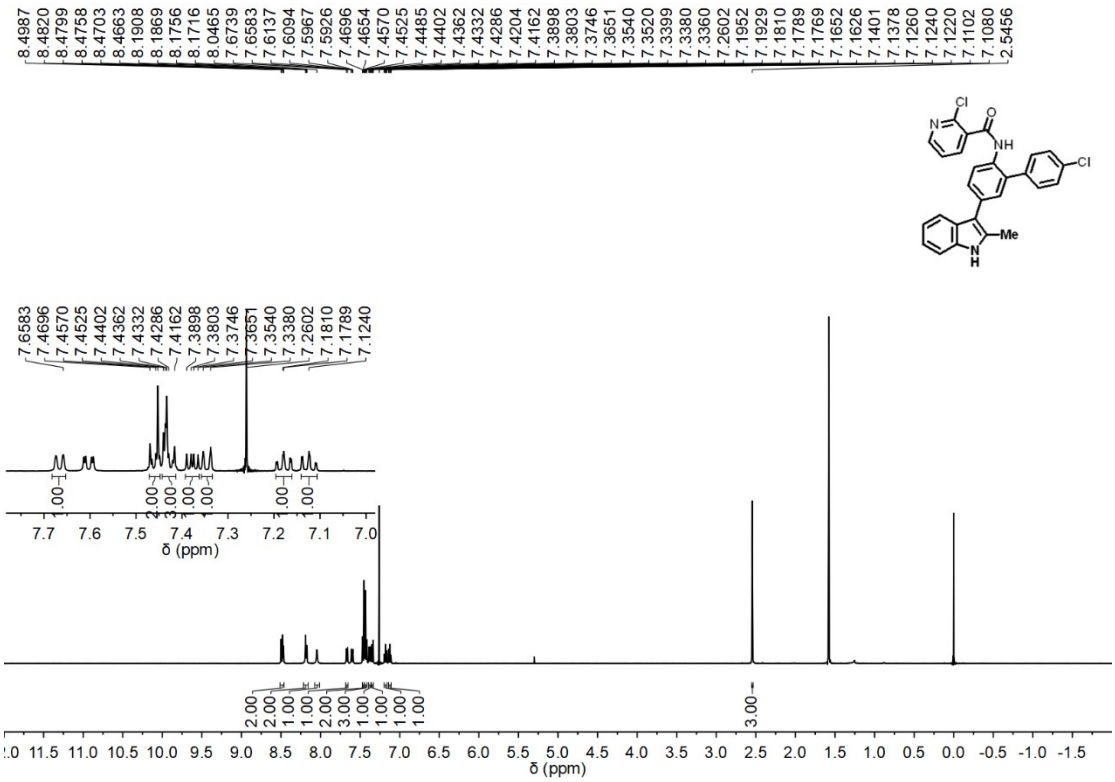
^{13}C NMR of **14** (125 MHz, $CDCl_3$)



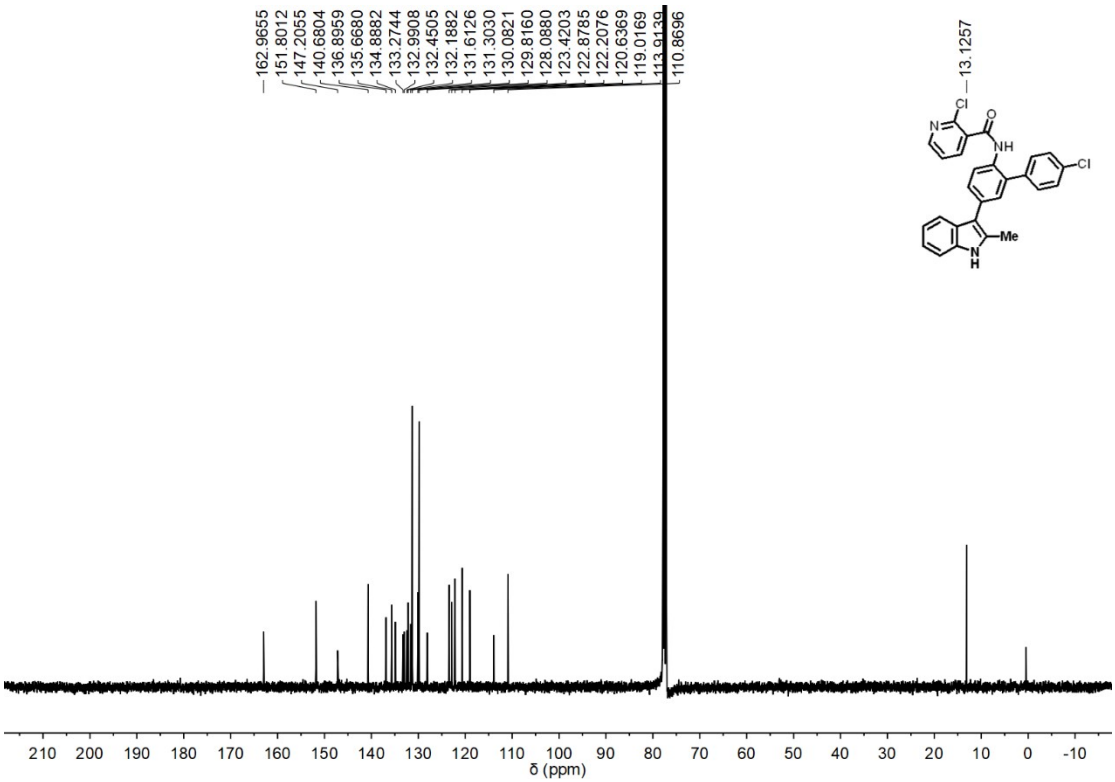
^{1}H NMR of **15** (500 MHz, $CDCl_3$)



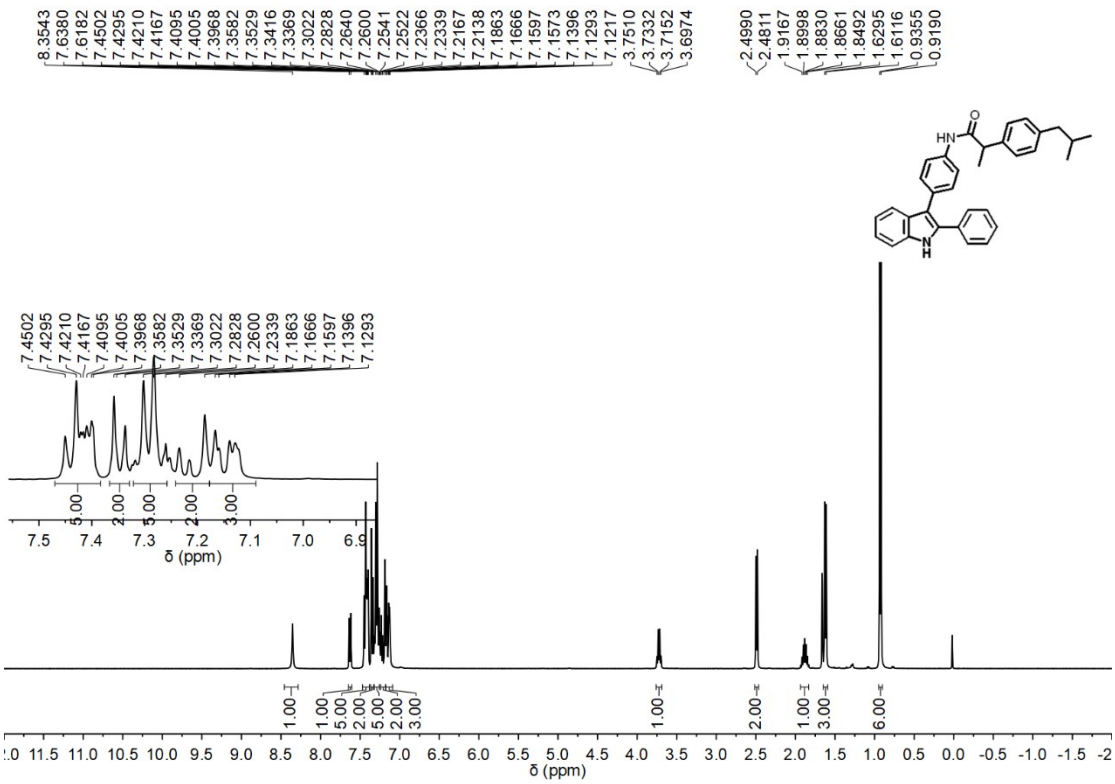
^{13}C NMR of **15** (125 MHz, $CDCl_3$)



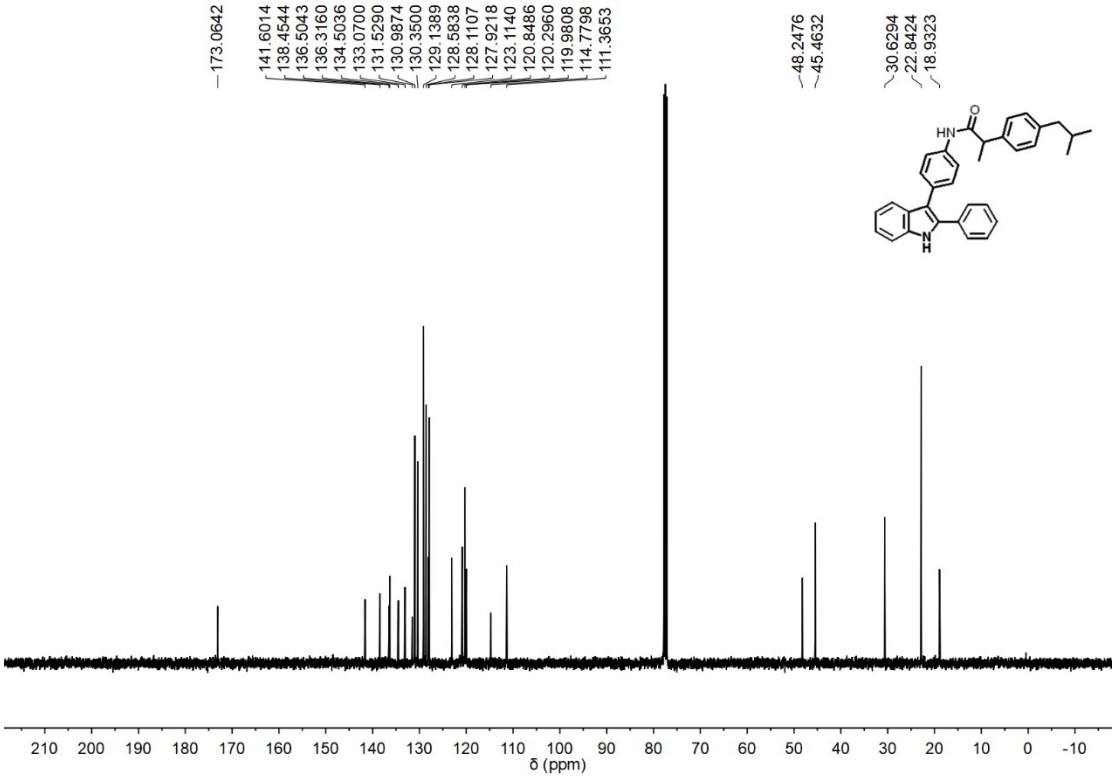
^{1}H NMR of **16** (500 MHz, $CDCl_3$)



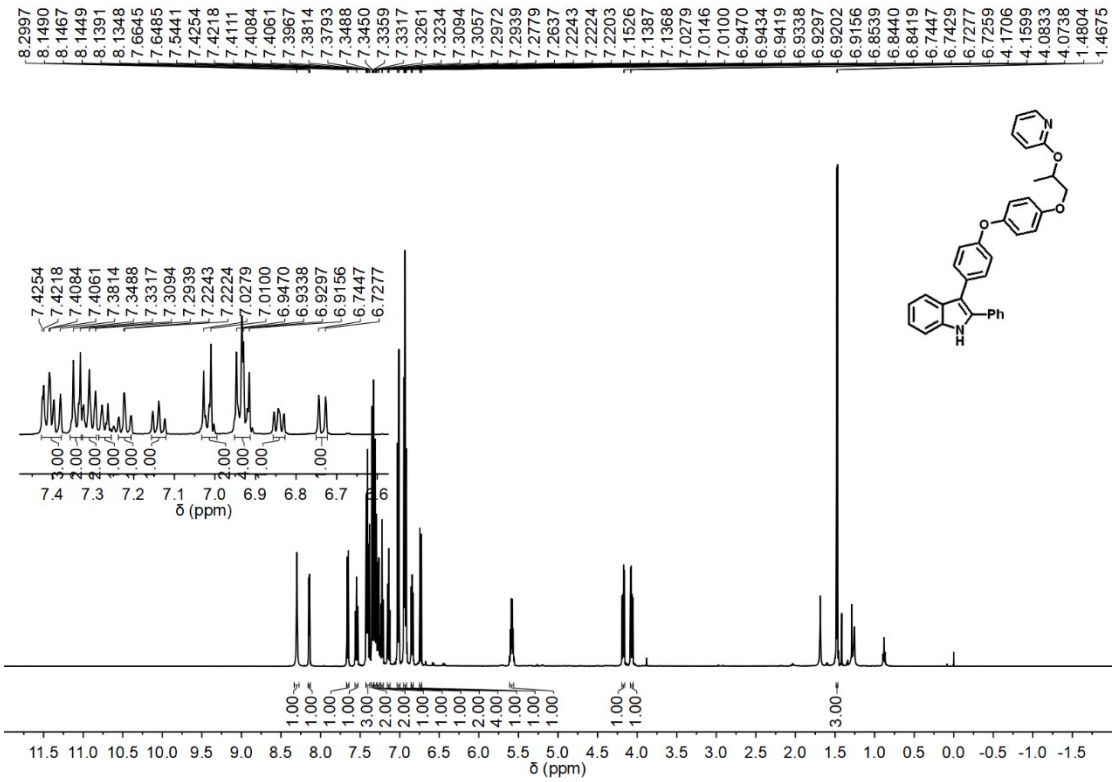
^{13}C NMR of **16** (100 MHz, $CDCl_3$)



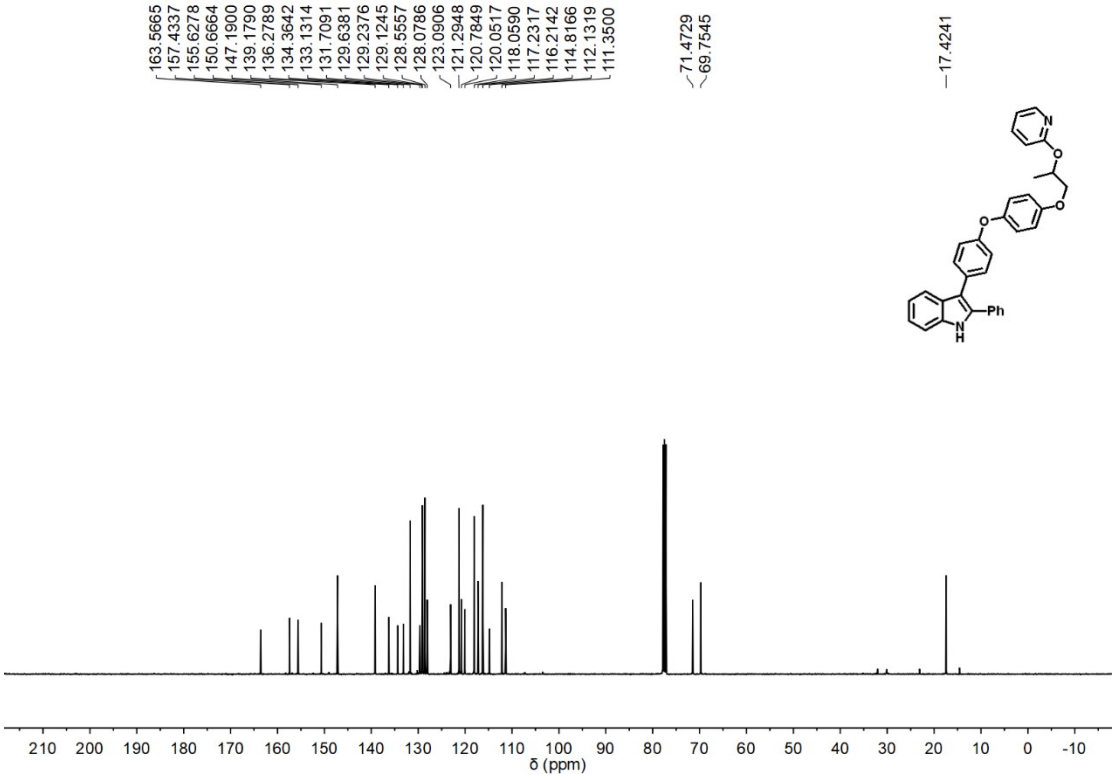
^{1}H NMR of **17** (400 MHz, $CDCl_3$)



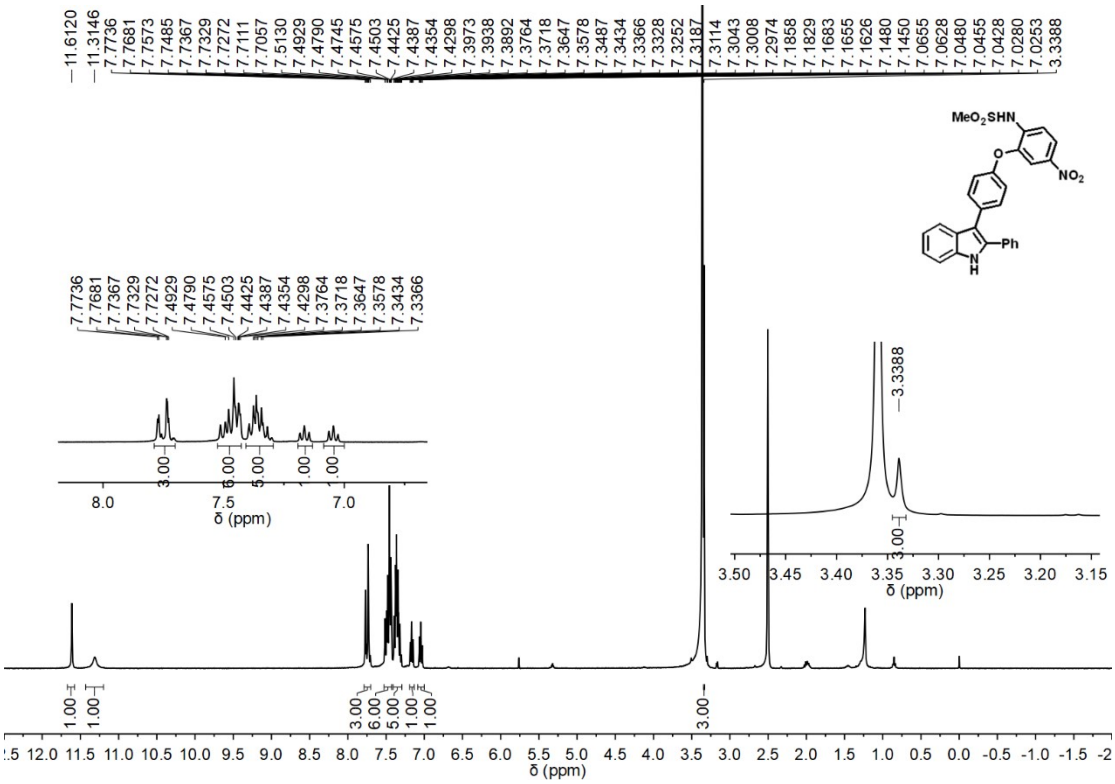
^{13}C NMR of **17** (100 MHz, $CDCl_3$)



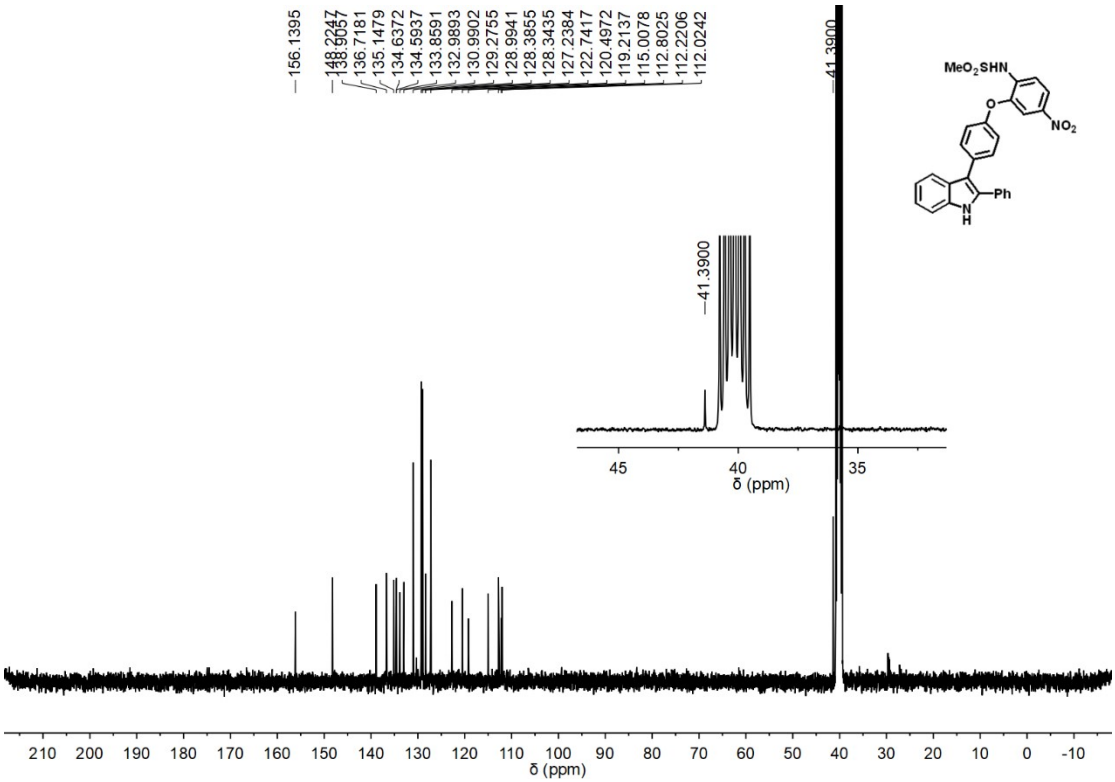
^{1}H NMR of **18** (500 MHz, $CDCl_3$)



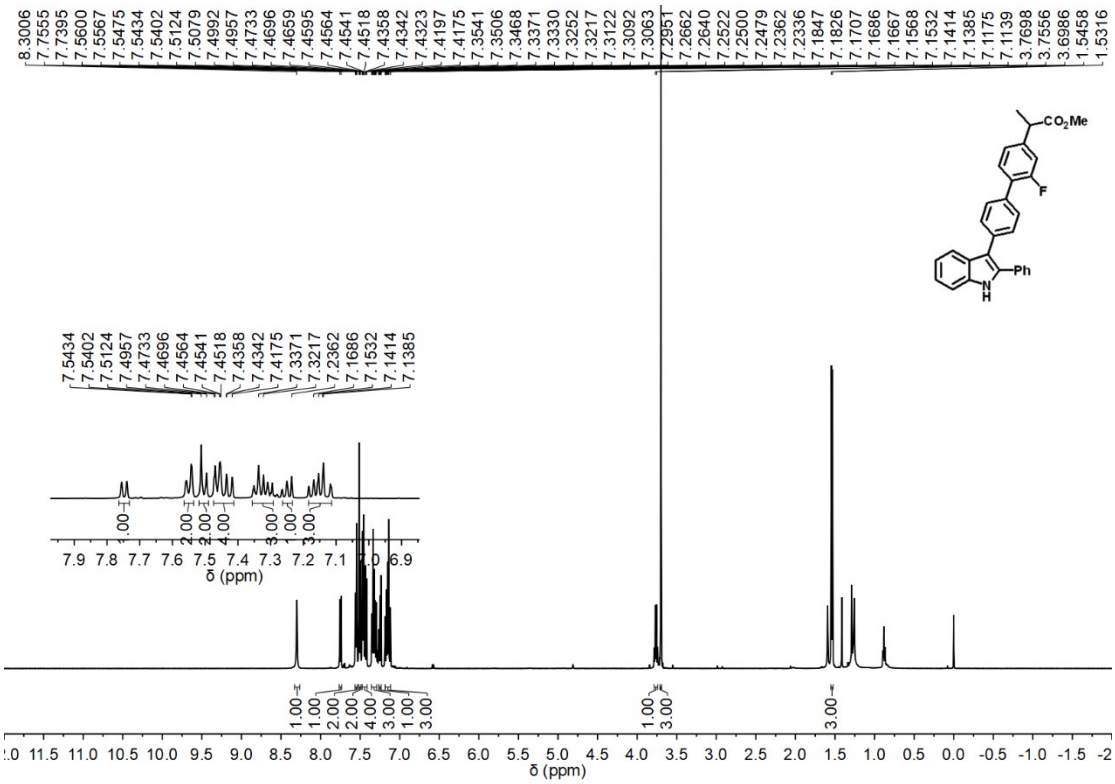
^{13}C NMR of **18** (100 MHz, $CDCl_3$)



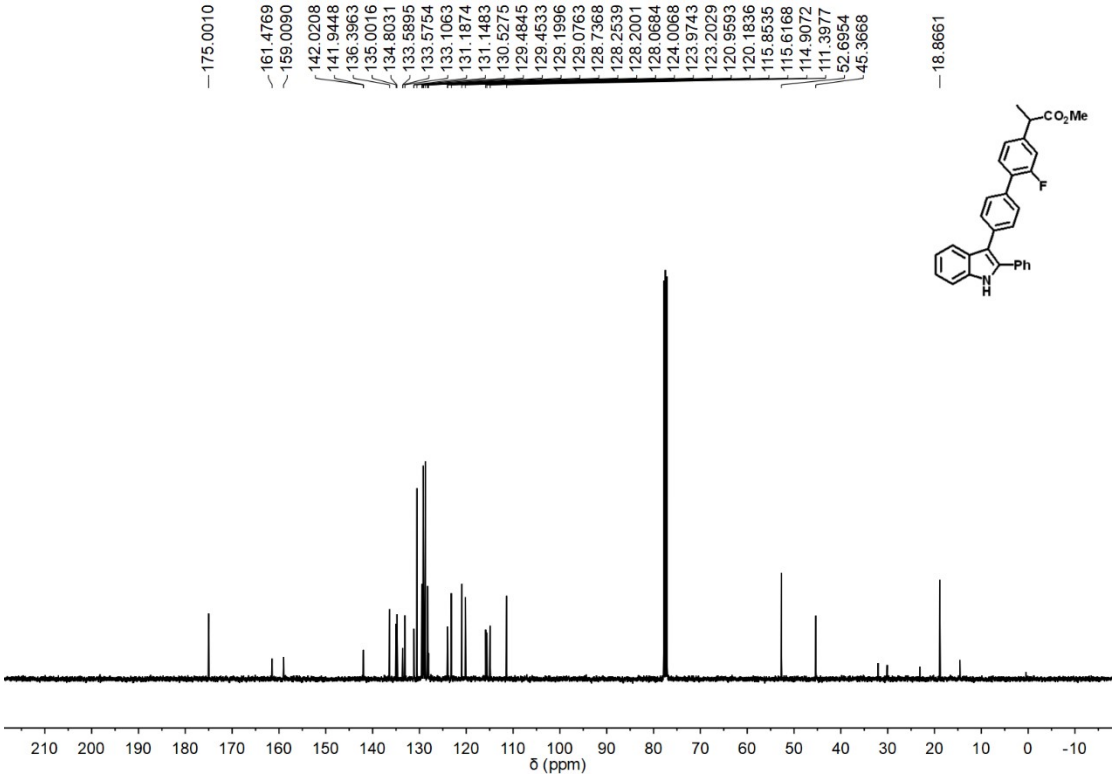
^{1}H NMR of **19** (400 MHz, DMSO-d_6)



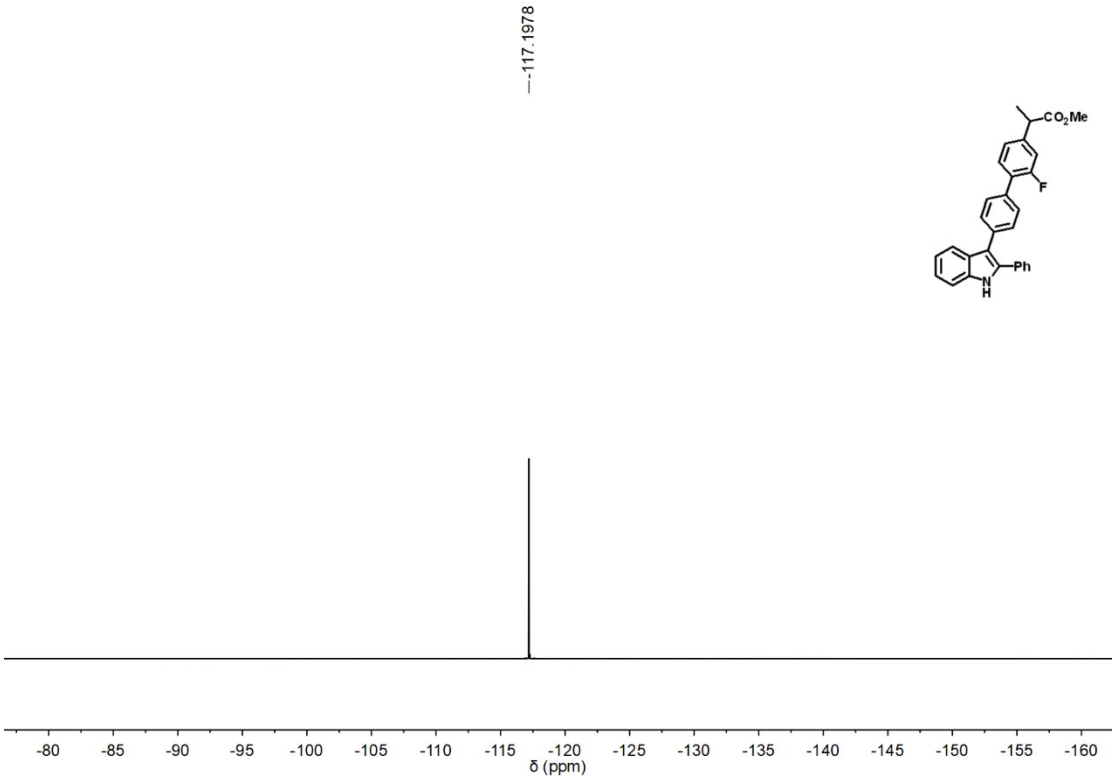
^{13}C NMR of **19** (100 MHz, DMSO-d_6)



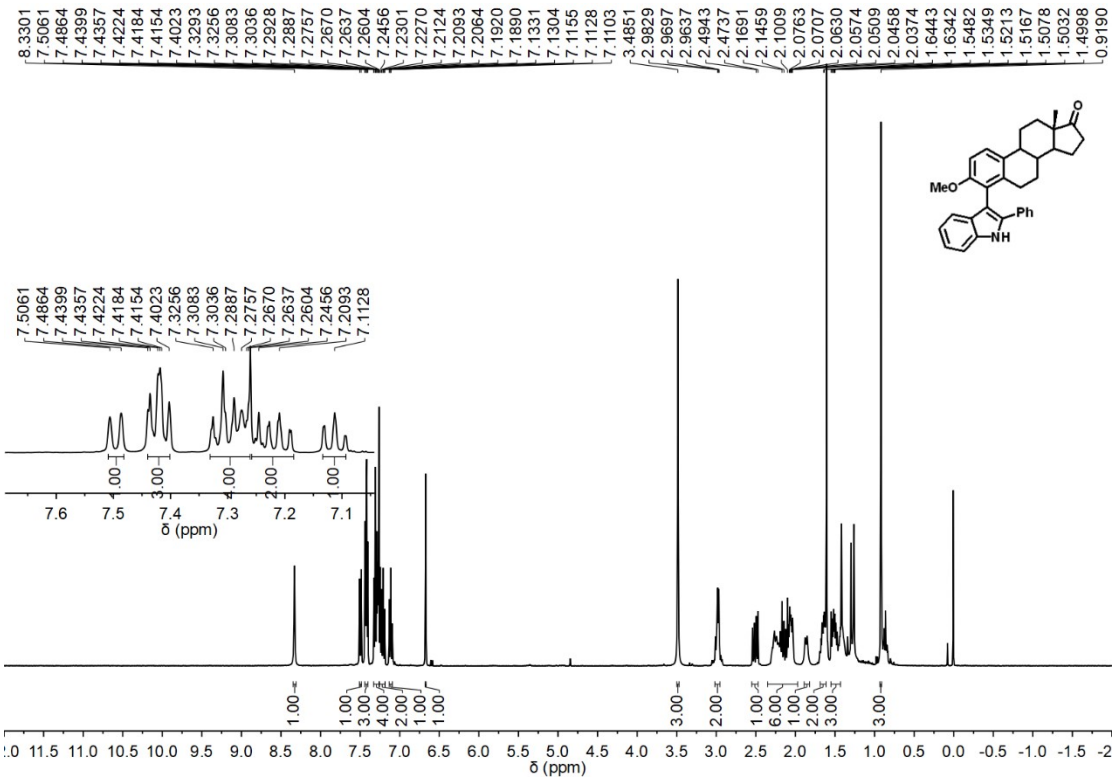
^{1}H NMR of **20** (500 MHz, $CDCl_3$)



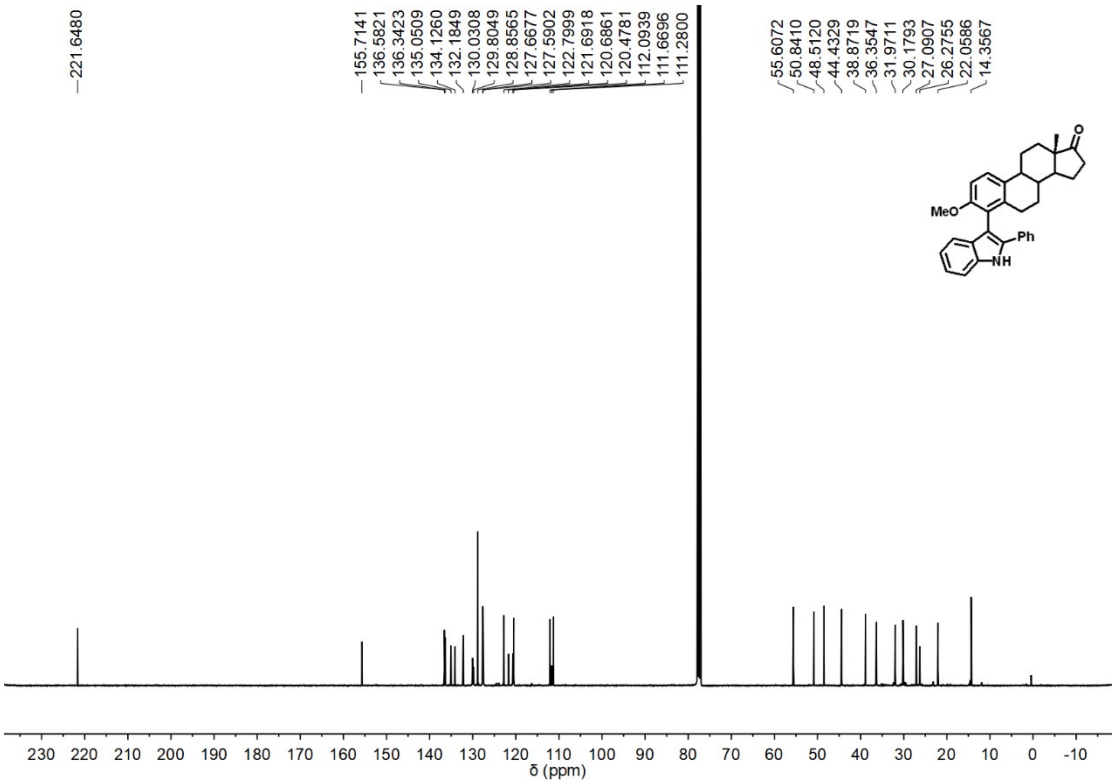
^{13}C NMR of **20** (100 MHz, $CDCl_3$)



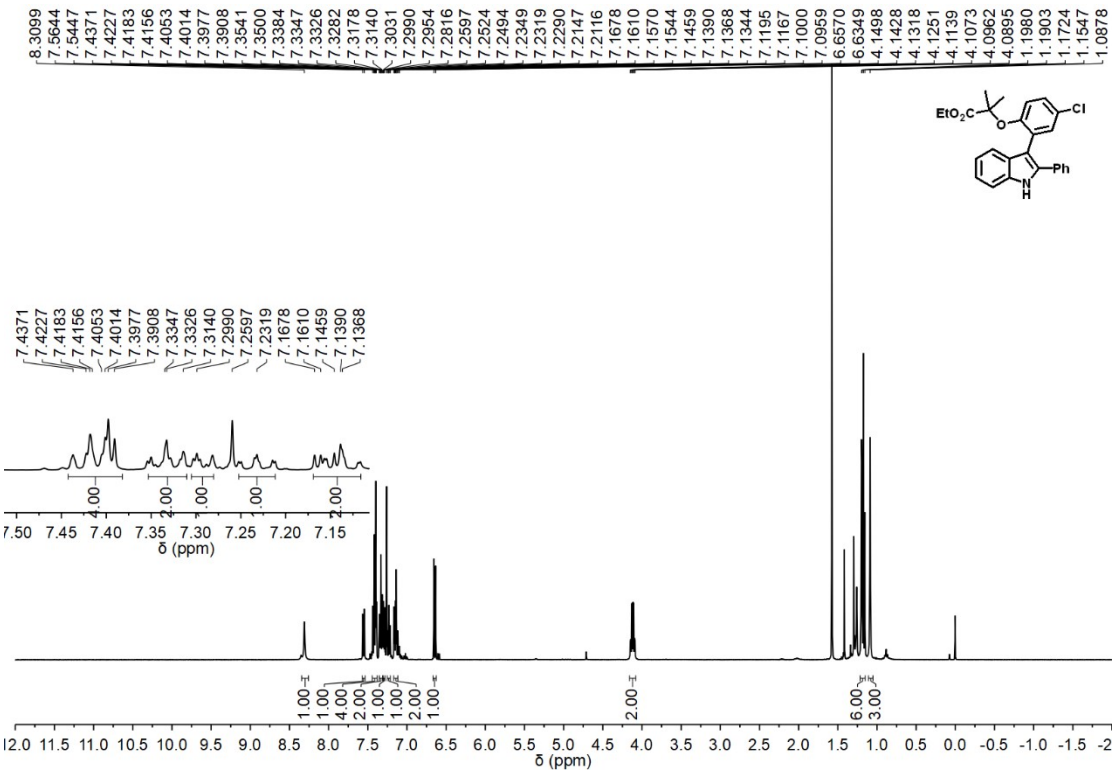
^{19}F NMR of **20** (376 MHz, $CDCl_3$)



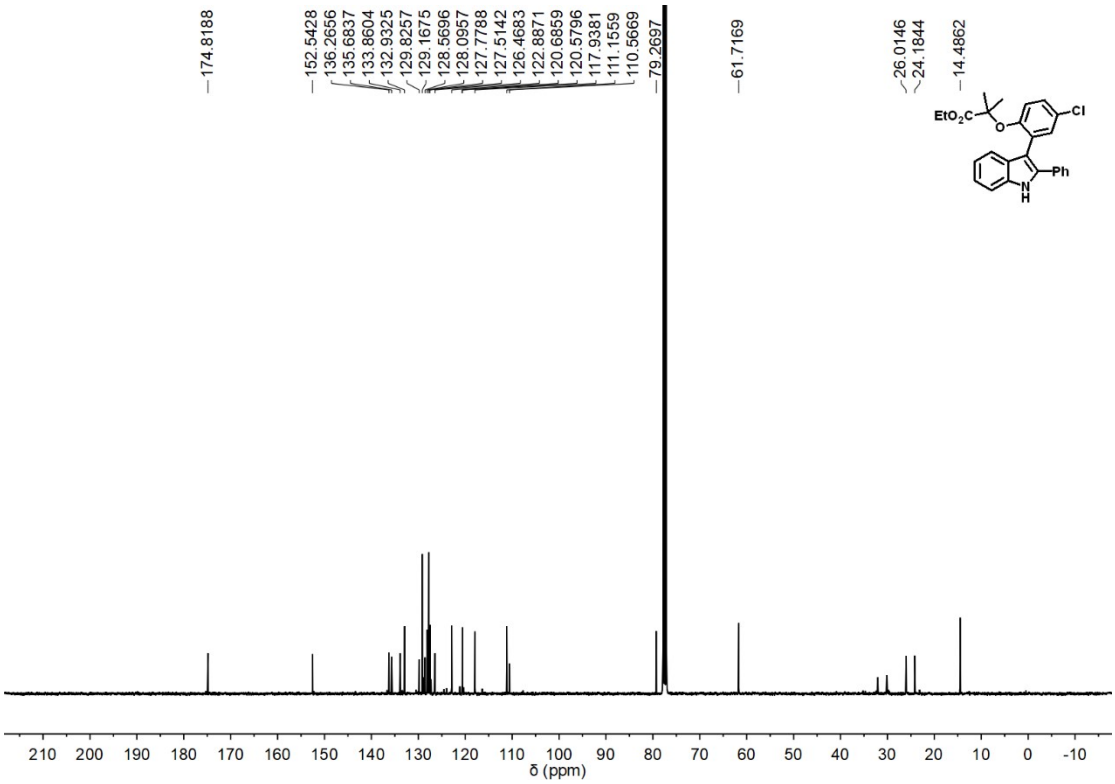
^{1}H NMR of **21** (400 MHz, $CDCl_3$)



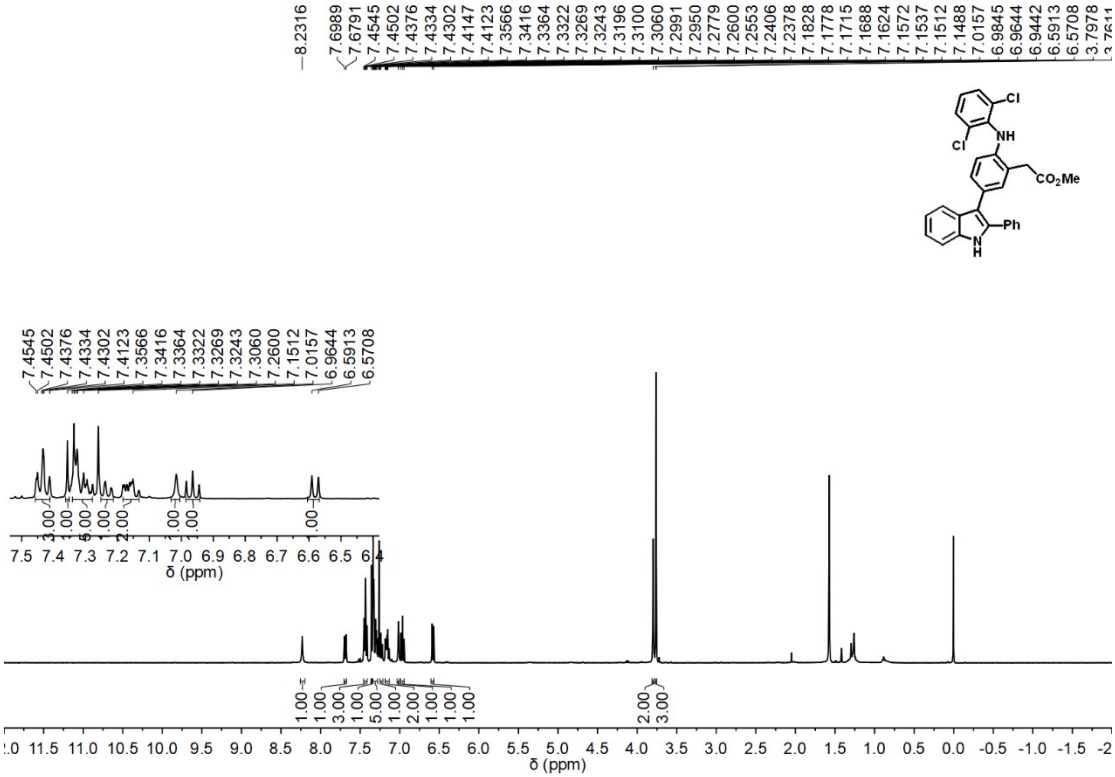
^{13}C NMR of **21** (100 MHz, $CDCl_3$)



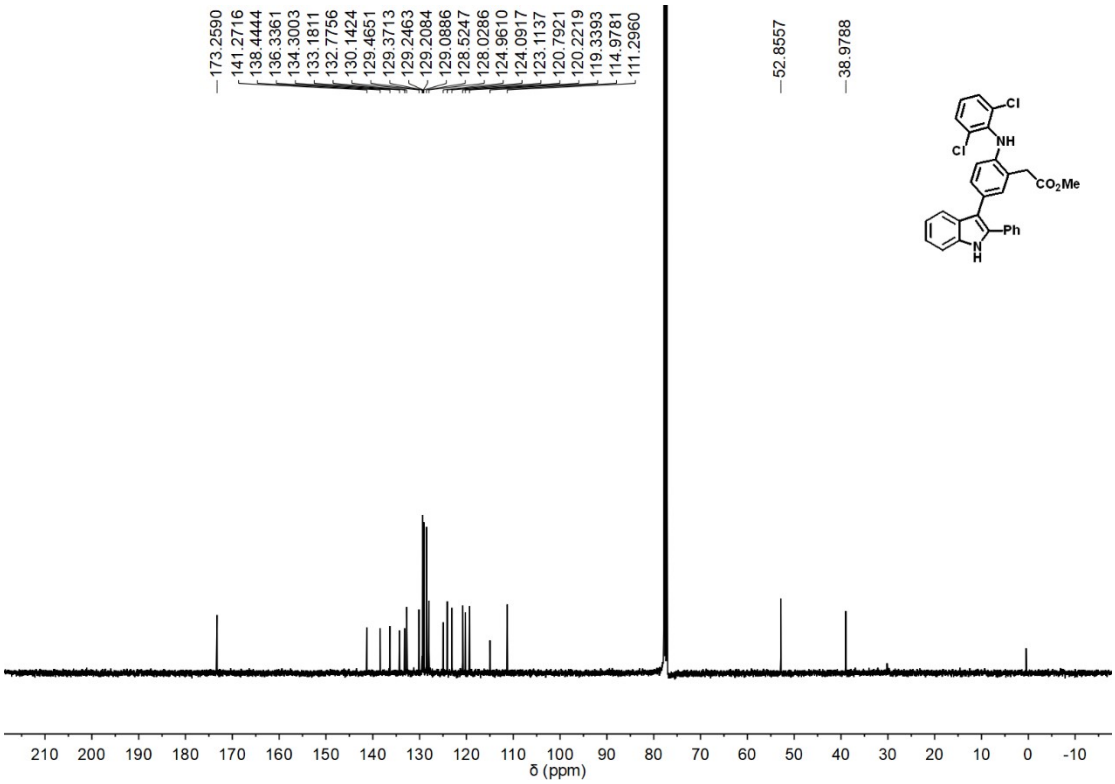
^{1}H NMR of **22** (400 MHz, $CDCl_3$)



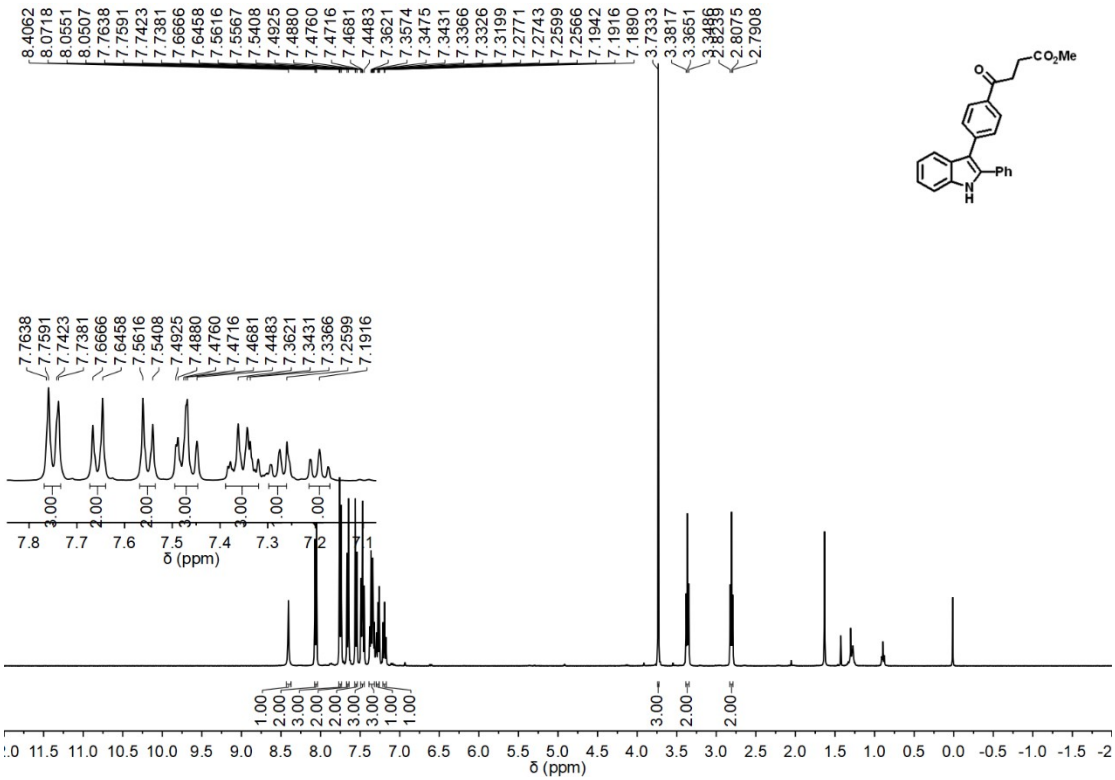
^{13}C NMR of **22** (100 MHz, $CDCl_3$)



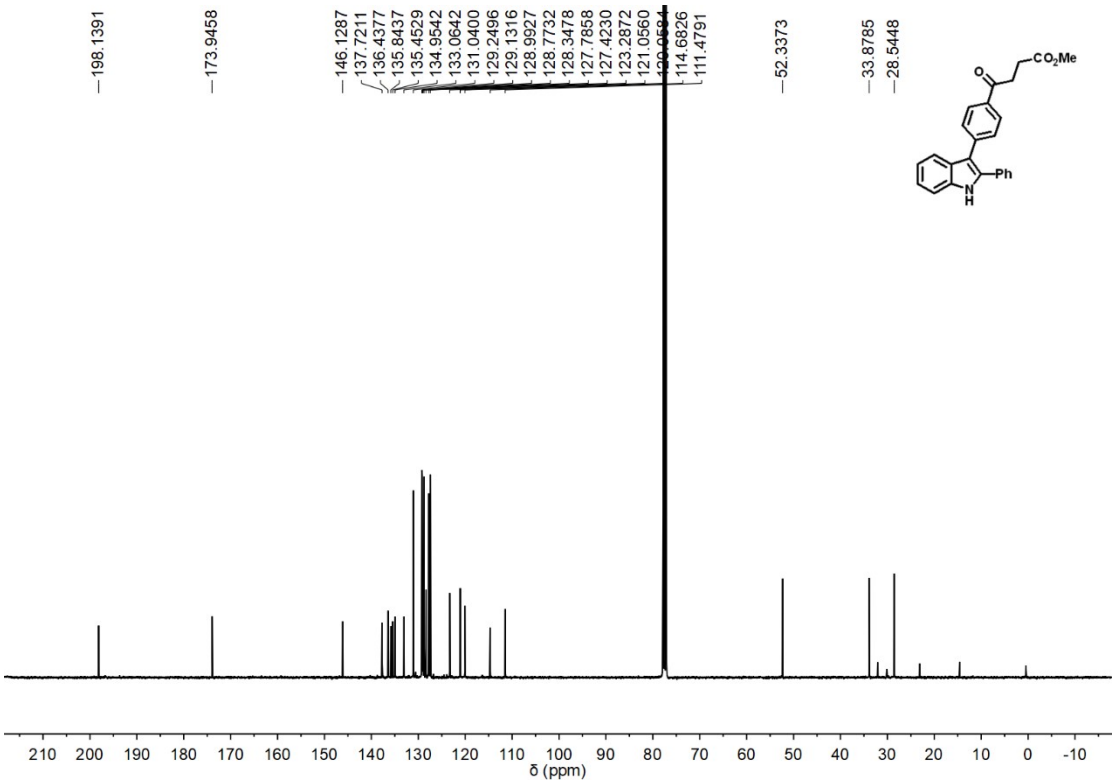
^{1}H NMR of **23** (400 MHz, $CDCl_3$)



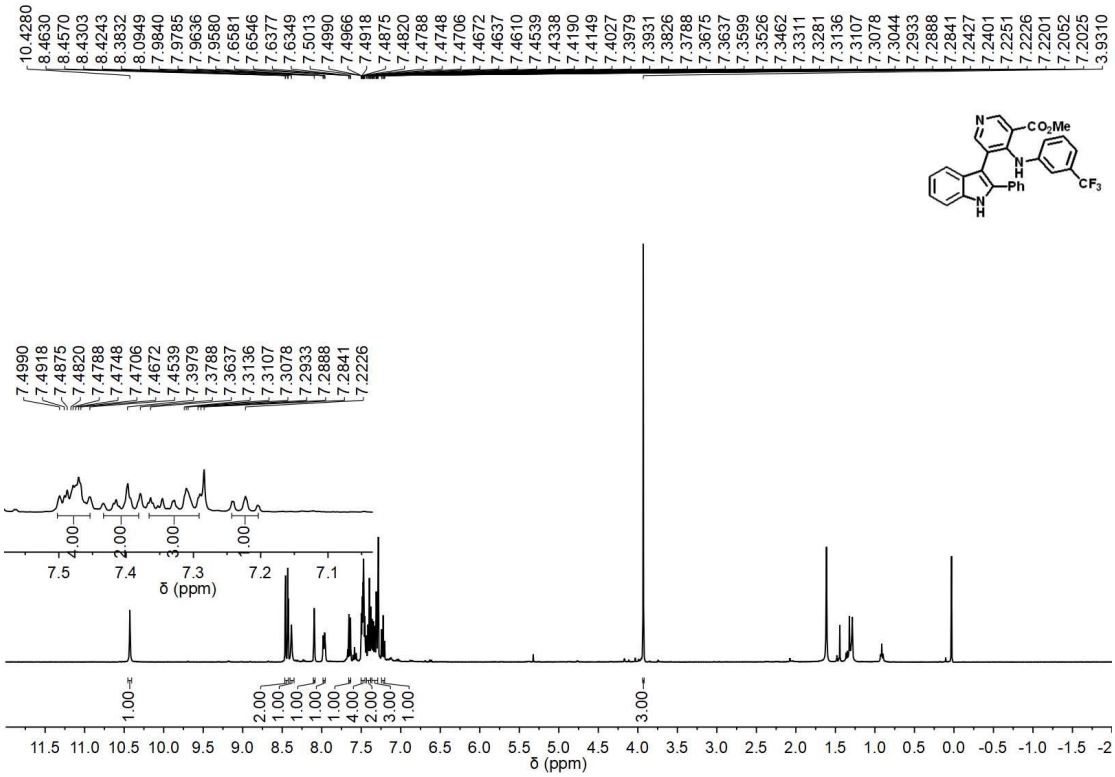
^{13}C NMR of **23** (100 MHz, $CDCl_3$)



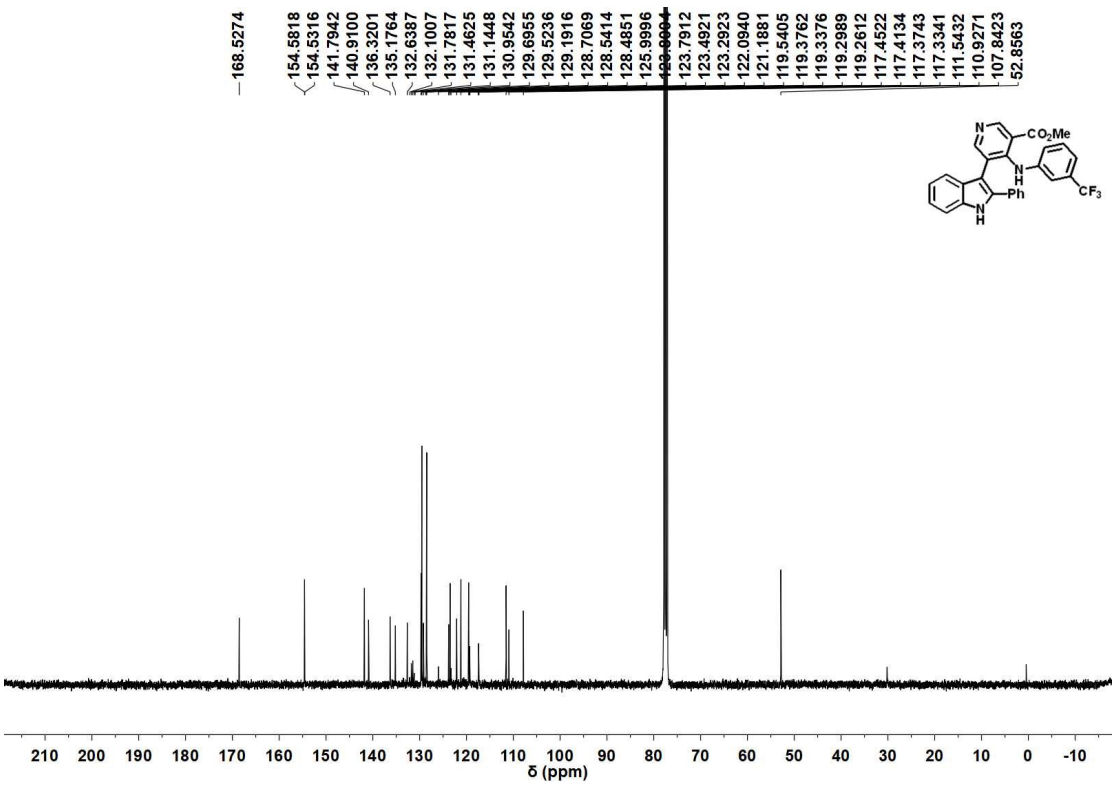
^{1}H NMR of **24** (400 MHz, $CDCl_3$)



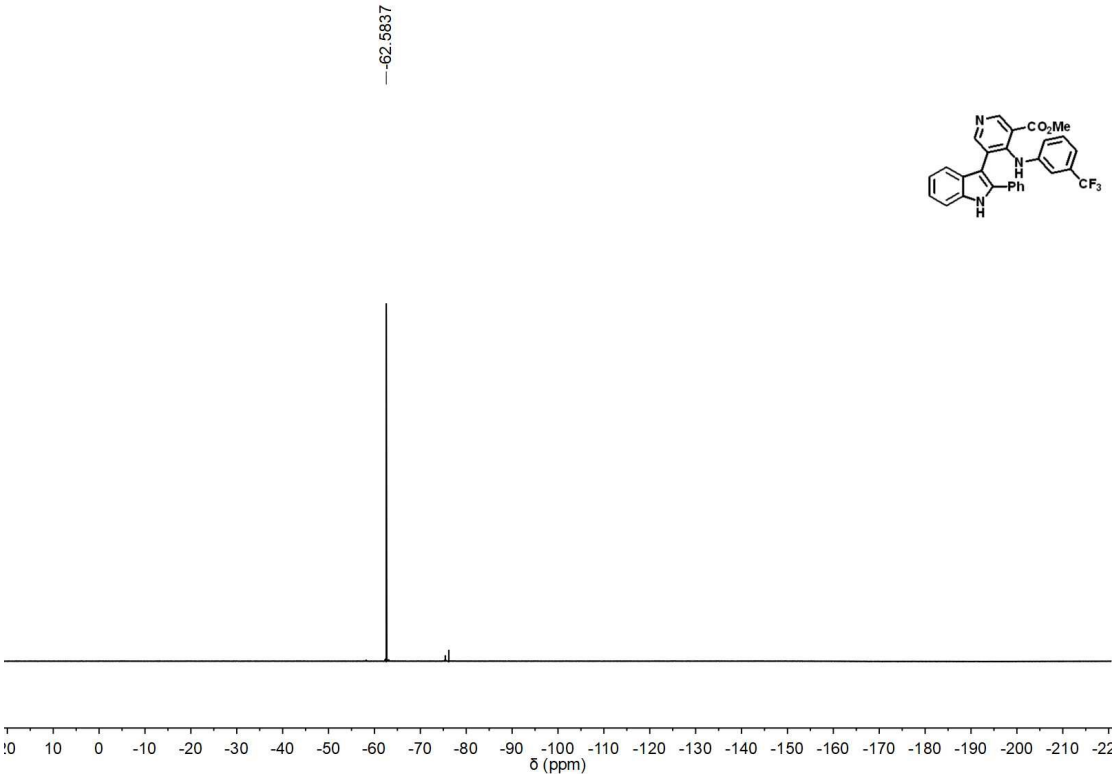
^{13}C NMR of **24** (100 MHz, $CDCl_3$)



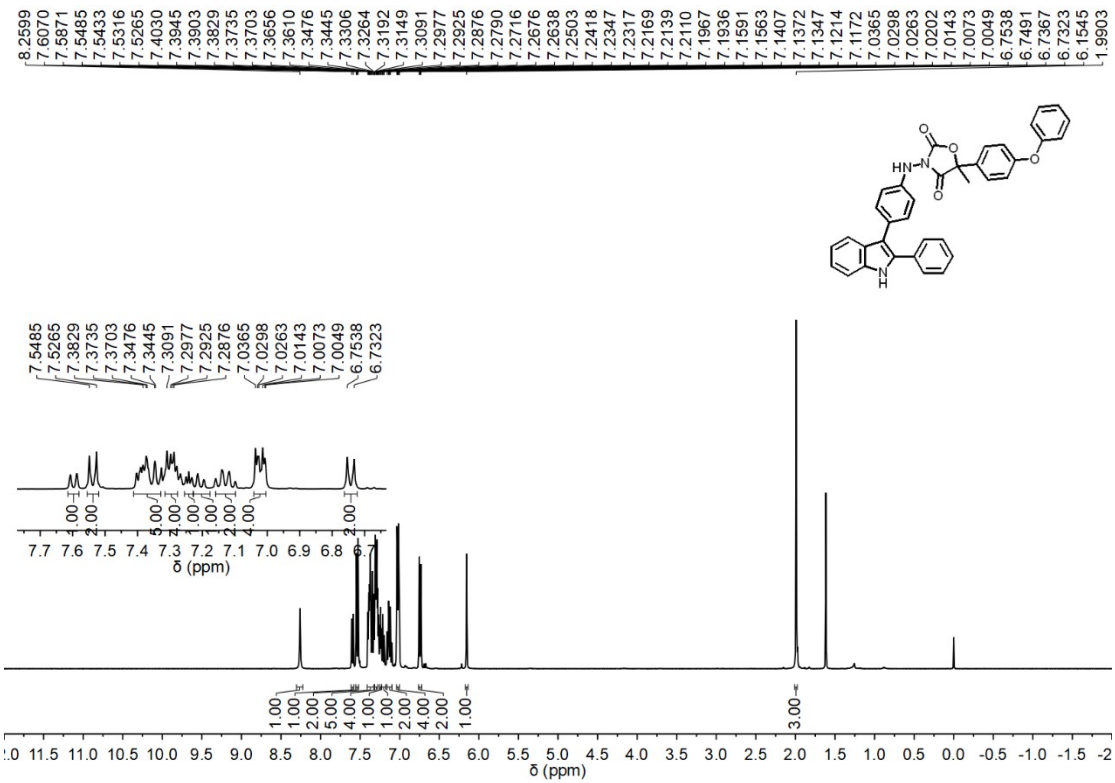
^{1}H NMR of **25** (400 MHz, $CDCl_3$)



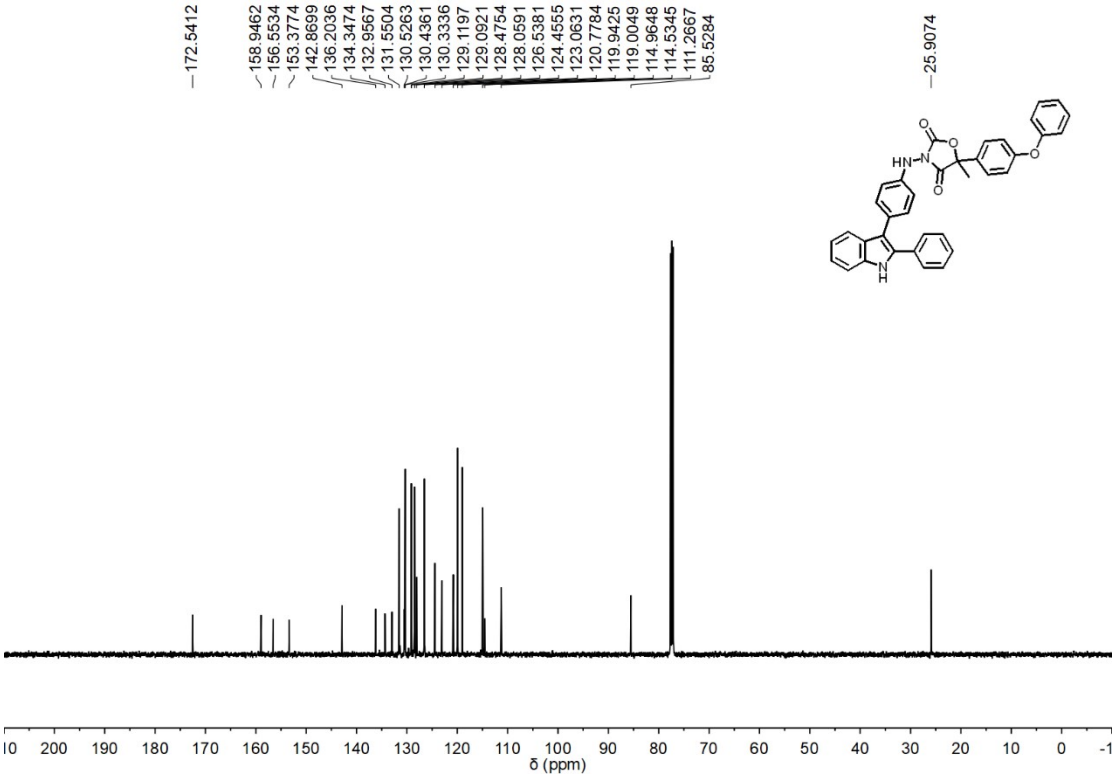
^{13}C NMR of **25** (100 MHz, $CDCl_3$)



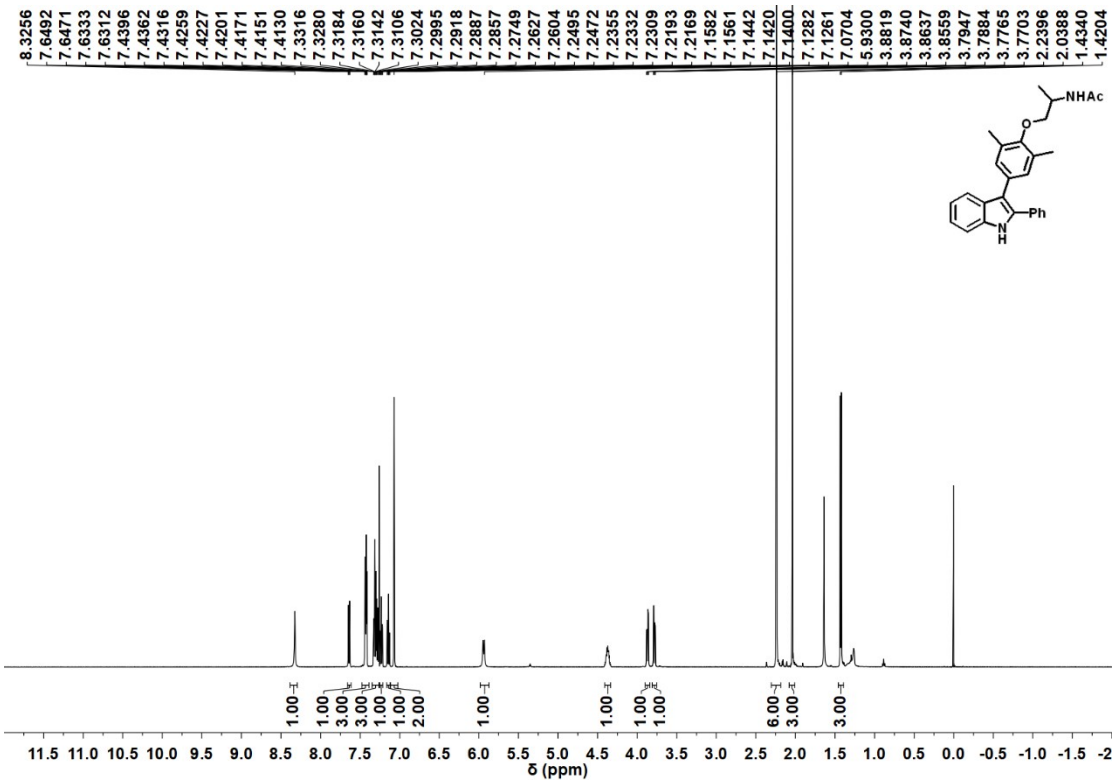
^{19}F NMR of **25** (376 MHz, $CDCl_3$)



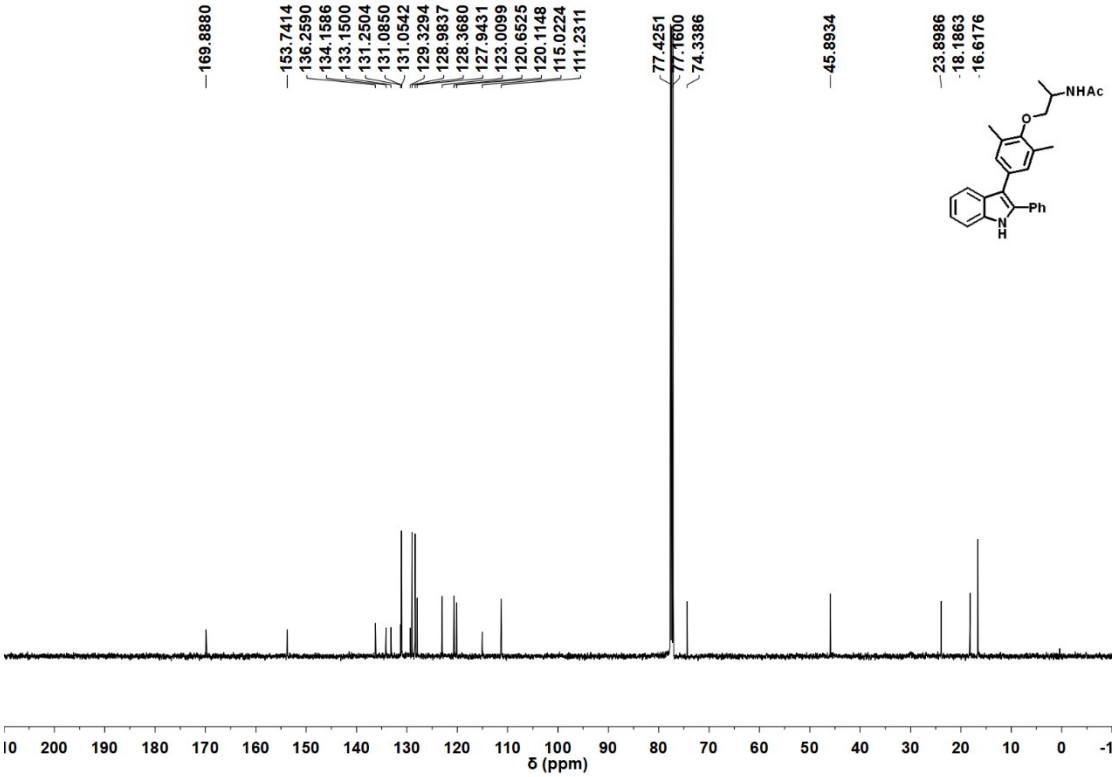
^{1}H NMR of **26** (400 MHz, $CDCl_3$)



^{13}C NMR of **26** (125 MHz, $CDCl_3$)



^{1}H NMR of **27** (500 MHz, $CDCl_3$)



^{13}C NMR of **27** (125 MHz, $CDCl_3$)