Supporting Information

A Regiodivergent Truce-Smiles Rearrangement: A Strategy for the Synthesis of Arylated Indoles promoted by $KN(SiMe_3)_2$

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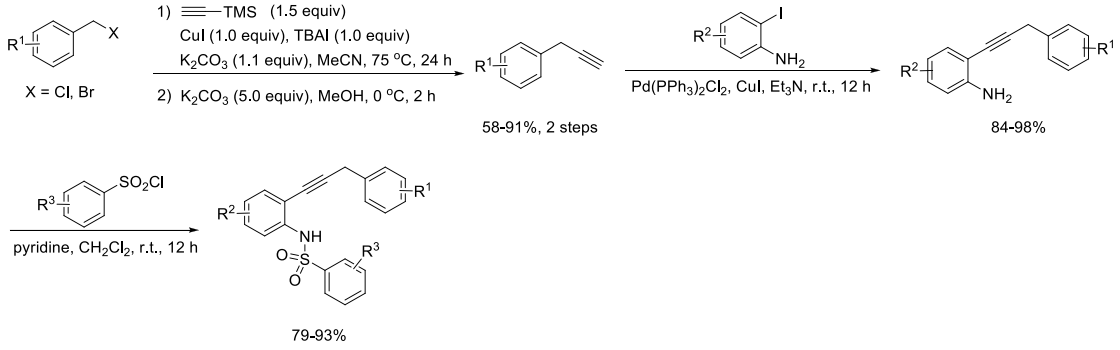
General Information

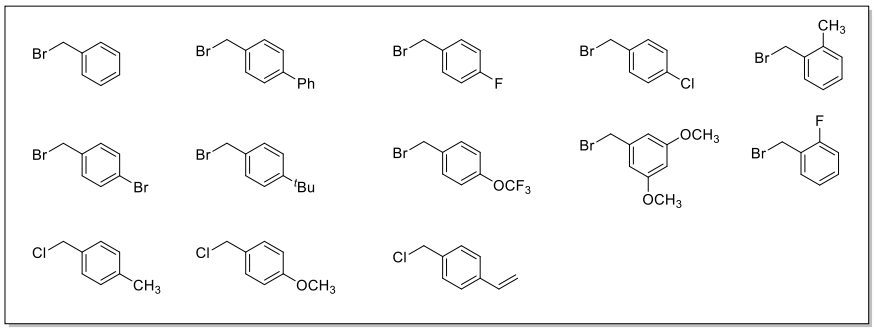
All reactions were conducted under an atmosphere of dry nitrogen with oven-dried glassware or vacuum line techniques. All anhydrous solvents were purchased from Sigma-Aldrich and directly used without further purification. Unless otherwise stated, reagents were commercially available and used as purchased without further purification. Chemicals were purchased from Sigma-Aldrich, TCI China, Acros, Alfa Aesar and Energy-Chemical.

Progress of reactions was monitored by thin-layer chromatography using TLC plates and visualized by short-wave ultraviolet light. Flash chromatography was performed with Qingdao Haiyang flash silica gel (200–300 mesh). The NMR spectra were obtained using a Bruker AVANCE III 500 MHz spectrometer (Bruker Co., Switzerland) with TMS as the internal standard. The infrared spectra were obtained with KBr plates by using a FTIR650 FT-IR Spectrometer. High resolution mass spectrometry (HRMS) data were obtained on an Agilent Q-TOF 1290 LC/6224 MS system using electrospray ionization (ESI) in positive or negative mode. Melting points were determined on a Thermal Values analytical microscope and were uncorrected.

Synthetic procedures for the preparation of *N*-(2-(3-arylprop-1-yn-1-yl) aryl) aryl-sulfonamide 1a – 1ae and 1D



Benzyl bromide and **benzyl chloride** derivatives used in preparation of **1a – 1ae** and **1D**



Representative synthetic procedures for the preparation of 1a – 1ae, 1D and 1K[1, 2]

Using substrate **1a** as an example.

Under an atmosphere of dry nitrogen, a 250 mL flask with a stir bar was charged with CuI (1.9 g, 10 mmol, 1.0 equiv), K_2CO_3 (1.52 g, 11 mmol, 1.1 equiv), tetrabutylammonium iodide (3.69 g, 10 mmol, 1.0 equiv) and dry acetonitrile (40 mL). Benzyl bromide (1.71 g, 10 mmol, 1.0 equiv) and trimethylsilylacetylene (1.48 g, 15 mmol, 1.5 equiv) were added by syringe. After stirring at 75 °C for 24 h (the color of the reaction mixture turned to red after heating for 2-3 hours), the mixture was cooled to room temperature, quenched with aqueous saturated NH_4Cl (40 mL), and extracted with EtOAc (3 × 50 mL). The organic layers were washed with 50 mL brine, dried with Na_2SO_4 and filtered. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel (eluted with petroleum ether) to give the product as a yellow oil.

In a 100 mL flask equipped with a stir bar, the above crude product (1.59 g, 8.4 mmol) was dissolved in MeOH (40 mL) at 0 °C and K_2CO_3 (5.8 g, 42 mmol, 5.0 equiv) was added in one portion. After stirring at 0 °C for 2 h, the reaction mixture was quenched with H_2O (40 mL) and extracted with *n*-pentane (3 × 30 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure while cooling in an ice-bath. The crude product 3-phenyl-1-propyne (962 mg, 83% yield in 2 steps) was used in the next step without further purification.

A flame-dried two-neck flask with a stir bar was charged with 2-iodoaniline (1.51 g, 6.9 mmol, 1.0 equiv), $Pd(PPh_3)_2Cl_2$ (105 mg, 0.15 mmol, 0.02 equiv), CuI (14.3 mg, 0.075 mmol, 0.01 equiv) under an N_2 atmosphere at room temperature. Et_3N (15 mL) was added by syringe. After stirring for 5 minutes at room temperature, the 3-phenyl-1-propyne (962 mg, 8.3 mmol, 1.2 equiv) was added dropwise. The mixture was stirred at room temperature for additional 12 h. The reaction mixture was opened to air, quenched with saturated NH_4Cl (30 mL), and extracted with CH_2Cl_2 (3 × 30 mL). The combined organic layer was dried over Na_2SO_4, filtered and the residue concentrated under reduced pressure. The crude material was loaded onto a silica gel column and purified by flash chromatography to give 2-alkynylaniline as yellow oil (1.35 g, 94%).

An oven-dried 250 mL flask equipped with a stir bar was charged with 2-(3-phenylprop-1-yn-1-yl)aniline (1.35 g, 6.5 mmol, 1.0 equiv) and benzenesulfonyl chloride (1.37 g, 7.8 mmol, 1.2 equiv) and 50 mL of CH_2Cl_2. Pyridine (2.6 mL, 32.5 mmol, 5 equiv) was added slowly

by syringe. After stirring at room temperature for 12 h, the reaction mixture was quenched with 1N HCl (40 mL). The aqueous phase was extracted with CH_2Cl_2 (2 × 30 mL) and the combined organic layer was washed with 30 mL H_2O, 30 mL brine, dried with Na_2SO_4 and filtered through a pad of silica gel. The filtrate was concentrated under reduced pressure and the crude material was loaded onto a silica gel column and purified by flash chromatography to give **1a** as a white solid (1.95 g, 86%).

Synthesis of 2-diarylmethylalkyl indoles

General Procedure A

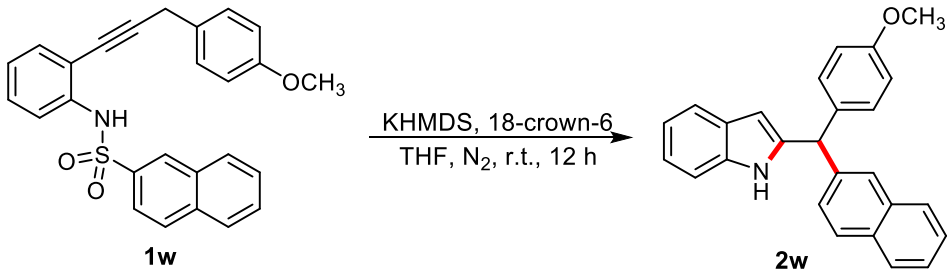
An oven-dried 10 mL vial equipped with a stir bar was charged with sulfonamide (0.1 mmol, 1.0 equiv) and 18-crown-6 (158.4 mg, 0.6 mmol, 6.0 equiv) under a nitrogen atmosphere in a glovebox. THF (1 mL) was added to the reaction followed by addition of KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol, 3.0 equiv) by syringe at room temperature. Upon addition of the base, the color of the reaction mixture turned to red. The vial was capped, removed from the glovebox, and stirred at room temperature for 12 h. After that time, the reaction mixture was opened to air and quenched with four drops of saturated NH_4Cl. The resulting solution was passed through a short pad of silica gel and eluted with EtOAc (3 × 2 mL). The combined organic solution was concentrated under reduced pressure and the crude material was loaded onto a silica gel column and purified by flash chromatography.

Synthesis of 2,3-disubstituted indoles

General Procedure B

An oven-dried 10 mL vial equipped with a stir bar was charged with sulfonamide (0.1 mmol, 1.0 equiv) and *N,N*-diethylethylenediamine (139 mg, 1.2 mmol, 12 equiv) under a nitrogen atmosphere in a glovebox. CPME (2 mL) was added to the reaction followed by addition of KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol, 4.0 equiv) by syringe at room temperature. Upon addition of the base, the color of the reaction mixture turned to red. The vial was capped, removed from the glovebox, and stirred in an oil bath at 100 °C for 12 h. After that time, the vail was removed from the bath, cooled to room temperature, opened to air and the reaction mixture was quenched with six drops of saturated NH_4Cl immediately. The resulting solution was passed through a short pad of silica gel and eluted with EtOAc (3 × 2 mL). The combined organic solution was concentrated under reduced pressure. The crude material was loaded onto a silica gel column and purified by flash chromatography.

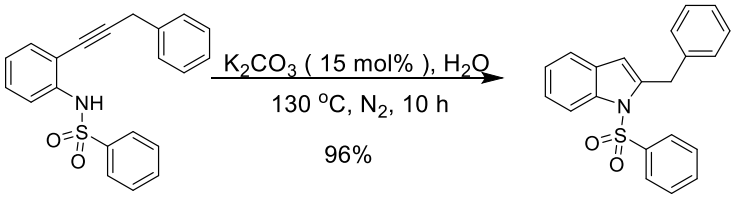
Scale up reaction for 2-((4-methoxyphenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2w)



1w 2w

A 100 mL flame-dried two-neck flask equipped with a stir bar was charged with *N*-(2-(3-(4-methoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide **1w** (1.28 g, 3 mmol, 1 equiv), 18-crown-6 (4.76 g, 18 mmol, 6.0 equiv), and THF (20 mL) under an N_2 atmosphere at room temperature. KHMDS (1.0 mol/L in THF, 9 mL, 9 mmol, 3.0 equiv) was added dropwise during a period of 2 minutes. Upon addition of the base, the color of the reaction mixture turned to red. The mixture was stirred at 25 °C for 12 h. After that time, the flask was opened to the air, the reaction mixture was quenched with 30 mL of saturated NH_4Cl and extracted with EtOAc (3 × 30 mL). The organic layers were washed with 40 mL brine, dried with Na_2SO_4 and filtered. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel (eluted with petroleum ether:EtOAc = 25:1) to give **2w** (995 mg, 91%) as white solid.

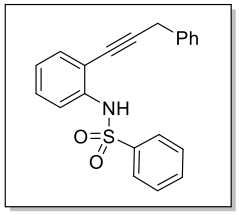
Preparation of 2-benzyl-1-(phenylsulfonyl)-*1H*-indole[3]



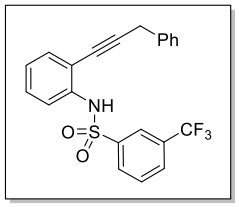
1a 5

An oven-dried 10 mL vial equipped with a stir bar was charged with **1a** (208 mg, 0.6 mmol, 1 equiv), K_2CO_3 (13 mg, 0.09 mmol, 0.15 equiv) and water (3.0 mL). The resulting mixture was stirred vigorously at 130 °C under a nitrogen atmosphere for 10 h. The reaction solution was cooled to room temperature, exposed to air and extracted with CH_2Cl_2 (3 × 10 mL). The organic phase was combined and dried over anhydrous Na_2SO_4. The residue was purified by column chromatography on silica gel (eluted with petroleum ether:EtOAc = 10:1) to give **5** as a white solid (199.4 mg, 96%).

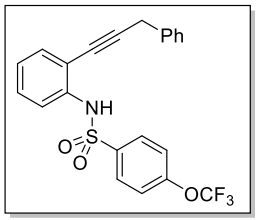
Characterization Data for Starting Materials



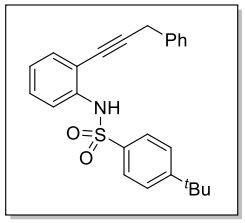
***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1a)**. White solid. mp = 108–110 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.75 – 7.69 (m, 2H), 7.65 (d, J = 7.7 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.45 – 7.26 (m, 10H), 7.04 (td, J = 7.6, 1.1 Hz, 1H), 3.84 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 139.1, 137.6, 136.1, 133.1, 132.1, 129.2, 128.95, 128.91, 128.0, 127.2, 127.1, 124.6, 120.1, 115.0, 95.3, 77.3, 26.0; IR (KBr): 3261, 3067, 2925, 2219, 1601, 1493, 1449, 1340 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^+$ calcd for $C_{21}H_{17}NNaO_2S^+$ 370.0872; found 370.0871.



***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-3-(trifluoromethyl)benzenesulfonamide (1b).** Yellow solid. mp = 103–105 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (s, 1H), 7.80 (d, J = 7.9 Hz, 1H), 7.72 (d, J = 7.8 Hz, 1H), 7.63 (dd, J = 8.6, 1.0 Hz, 1H), 7.46 – 7.36 (m, 3H), 7.36 – 7.28 (m, 5H), 7.25 (s, 1H), 7.08 (td, J = 7.7, 1.1 Hz, 1H), 3.79 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 140.1, 136.9, 136.0, 132.3, 131.6 (q, $J^2_{C(Ar)-F}$ = 33.5 Hz), 130.4, 129.8, 129.4, 129.0, 128.0, 127.2, 125.4, 124.4 (q, $J^3_{C(Ar)-F}$ = 3.8 Hz), 123.2 (q, J^1_{C-F} = 272.9 Hz), 121.1, 115.8, 95.5, 77.1, 25.9, one resonance was not observed due to coincidental overlap; IR (KBr): 3236, 3032, 2895, 2215, 1616, 1488, 1407, 1346, 1139 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^+$ calcd for $C_{22}H_{16}F_3NNaO_2S^+$ 438.0746; found 438.0742.

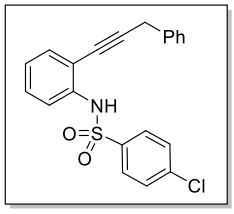


***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-4-(trifluoromethoxy)benzenesulfonamide (1c).** Yellow solid. mp = 93–94 °C. ^{1}H NMR (500 MHz, DMSO-d_6): δ 9.96 (s, 1H), 7.79 – 7.71 (m, 2H), 7.39 (dd, J = 8.8, 0.8 Hz, 2H), 7.36 – 7.19 (m, 8H), 7.13 (td, J = 7.4, 1.6 Hz, 1H), 3.73 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, DMSO-d_6): δ 151.0, 139.3, 136.9, 136.3, 132.7, 129.3, 128.8, 128.4, 128.0, 126.6, 126.3, 125.9, 121.1, 119.8 (q, J_{C-F} = 258.2 Hz). 116.7, 93.3, 78.0, 25.1; IR (KBr): 3247, 3033, 2920, 2232, 1603, 1488, 1409, 1167 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^+$ calcd for $C_{22}H_{16}F_3NNaO_3S^+$ 454.0695; found 454.0701.

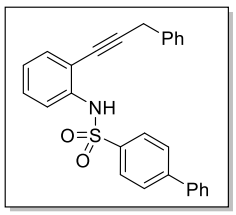


4-(*Tert*-butyl)-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1D). White solid. mp = 109–110 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.66 – 7.62 (m, 2H), 7.59 (dd, J = 8.3, 0.7 Hz, 1H), 7.43 – 7.32 (m, 6H), 7.31 – 7.21 (m, 4H), 6.99 (td, J = 7.6, 1.1 Hz, 1H), 3.82 (s, 2H), 1.26 (s, 9H). ^{13}C{^{1}H}

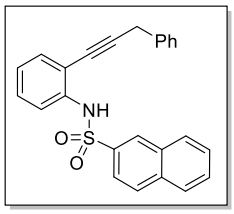
NMR (125 MHz, $CDCl_3$): δ 156.9, 137.9, 136.2, 136.1, 132.2, 129.3, 128.9, 128.0, 127.14, 127.11, 126.0, 124.2, 119.4, 114.5, 95.2, 35.2, 31.1, 26.0, one resonance was not observed due to coincidental overlap; IR (KBr): 3255, 3064, 2961, 2869, 2222, 1595, 1489, 1332 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{25}NNaO_2S^+$ 426.1498; found 426.1493.



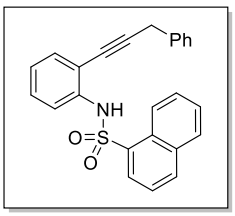
4-Chloro-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1d). White solid. mp = 112–113 °C. ^1H NMR (500 MHz, $CDCl_3$) : δ 7.59 (dd, J = 8.2, 0.6 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.43 – 7.36 (m, 2H), 7.35 – 7.19 (m, 8H), 7.04 (td, J = 7.6, 1.1 Hz, 1H), 3.80 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 139.6, 137.5, 137.2, 136.0, 132.3, 129.34, 129.26, 129.0, 128.7, 128.0, 127.2, 125.0, 120.6, 115.4, 95.5, 77.2, 26.0; IR (KBr): 3245, 3030, 2920, 2222, 1601, 1572, 1487, 1342, 1093 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}ClNO_2S^+$ 382.0663; found 382.0662.



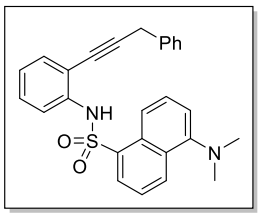
***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-[1,1'-biphenyl]-4-sulfonamide (1e).** Yellow solid. mp = 149–151 °C. ^1H NMR (500 MHz, DMSO–d_6): δ 9.78 (s, 1H), 7.77 – 7.64 (m, 4H), 7.59 – 7.54 (m, 2H), 7.44 – 7.39 (m, 2H), 7.38 – 7.30 (m, 3H), 7.29 – 7.20 (m, 5H), 7.19 – 7.14 (m, 1H), 7.11 – 7.05 (m, 1H), 3.76 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, DMSO–d_6): δ 144.1, 139.2, 138.3, 137.3, 136.4, 132.7, 129.1, 128.8, 128.5, 128.4, 128.1, 127.4, 127.1, 127.0, 126.6, 125.9, 125.1, 118.8, 93.6, 78.1, 25.3; IR (KBr): 3245, 3088, 3032, 2241, 1596, 1486, 1393, 1337 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{27}H_{21}NNaO_2S^+$ 446.1185; found 446.1174.



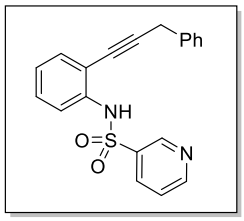
***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1f).** White solid. mp = 159–161 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 8.32 (d, J = 1.9 Hz, 1H), 7.82 (d, J = 9.1 Hz, 2H), 7.76 (d, J = 8.6 Hz, 1H), 7.67 – 7.58 (m, 3H), 7.57 – 7.52 (m, 1H), 7.39 – 7.28 (m, 6H), 7.26 – 7.22 (m, 2H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 3.78 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 137.7, 136.1, 135.1, 132.2, 132.1, 129.41, 129.39, 129.3, 129.02, 128.98, 128.97, 128.0, 127.6, 127.1, 124.6, 122.3, 119.9, 114.9, 95.3, 77.4, 26.0, two resonances were not observed due to coincidental overlap; IR (KBr): 3253, 3071, 2922, 2228, 1601, 1487, 1452, 1333 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{19}NNaO_2S^+$ 420.1029; found 420.1038.



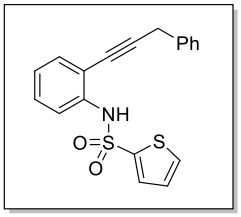
***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (1g).** White solid. mp = 132–133 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.61 (d, J = 8.6 Hz, 1H), 8.23 (dd, J = 7.4, 1.1 Hz, 1H), 7.98 (d, J = 8.2 Hz, 1H), 7.86 (d, J = 8.0 Hz, 1H), 7.57 – 7.48 (m, 3H), 7.46 – 7.36 (m, 4H), 7.35 – 7.28 (m, 3H), 7.21 – 7.16 (m, 2H), 6.92 (td, J = 7.6, 1.0 Hz, 1H), 3.71 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.8, 136.1, 134.9, 134.3, 134.1, 132.2, 130.3, 129.2, 129.1, 128.9, 128.4, 128.2, 128.0, 127.1, 126.9, 124.3, 124.1, 124.0, 118.7, 114.0, 95.3, 77.2, 25.9; IR (KBr): 3252, 3052, 2215, 1595, 1491, 1405, 1333, 1203 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^+$ calcd for $C_{25}H_{19}NNaO_2S^+$ 420.1029; found 420.1033.



5-(Dimethylamino)-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (1h). White solid. mp = 123–124 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.51 (d, J = 8.4 Hz, 1H), 8.28 (d, J = 8.6 Hz, 1H), 8.24 (dd, J = 7.3, 1.1 Hz, 1H), 7.58 (s, 1H), 7.53 (d, J = 8.1 Hz, 1H), 7.44 (dd, J = 8.3, 7.6 Hz, 1H), 7.41 – 7.28 (m, 6H), 7.23 – 7.15 (m, 2H), 7.10 (d, J = 7.5 Hz, 1H), 6.91 (td, J = 7.6, 1.0 Hz, 1H), 3.75 (s, 2H), 2.84 (s, 6H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.9, 136.1, 134.2, 132.1, 131.0, 130.3, 129.9, 129.6, 129.1, 128.9, 128.4, 128.0, 127.1, 123.8, 123.2, 118.9, 118.3, 115.4, 113.7, 95.3, 77.3, 45.5, 25.9, one resonance was not observed due to coincidental overlap; IR (KBr): 3273, 3031, 2944, 2830, 2228, 1611, 1491, 1413, 1335, 1201 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{27}H_{25}N_2O_2S^+$ 441.1631; found 441.1627.

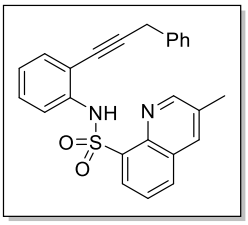


***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)pyridine-3-sulfonamide (1i).** Yellow solid. mp = 120–121 °C. ^{1}H NMR (500 MHz, DMSO-d_6): δ 10.09 (s, 1H), 8.75 (dd, J = 2.3, 0.6 Hz, 1H), 8.67 (dd, J = 4.8, 1.6 Hz, 1H), 7.97 – 7.93 (m, 1H), 7.45 – 7.42 (m, 1H), 7.33 – 7.24 (m, 7H), 7.23 – 7.17 (m, 1H), 7.16 – 7.12 (m, 1H), 3.70 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, DMSO-d_6): δ 153.2, 147.1, 136.7, 136.5, 136.3, 134.6, 132.8, 128.9, 128.5, 128.0, 126.63, 126.58, 126.5, 124.1, 119.6, 93.3, 78.0, 25.1; IR (KBr): 3438, 3062, 2933, 2228, 1602, 1579, 1493, 1415 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{20}H_{17}N_2O_2S^+$ 349.1005; found 349.1000.

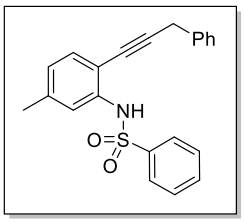


***N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)thiophene-2-sulfonamide (1j).** Yellow solid. mp = 117–118 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.68 – 7.63 (m, 1H), 7.46 (dd, J = 5.0, 1.3 Hz, 1H), 7.42 – 7.27 (m, 8H), 7.25 (s, 1H),

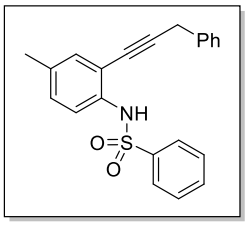
7.07 (td, J = 7.6, 1.1 Hz, 1H), 6.92 (dd, J = 5.0, 3.8 Hz, 1H), 3.82 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 139.4, 137.4, 136.0, 132.9, 132.7, 132.2, 129.3, 129.0, 128.1, 127.4, 127.2, 125.0, 120.5, 115.3, 95.3, 77.2, 26.1; IR (KBr): 3246, 3090, 3026, 2914, 2219, 1599, 1488, 1396, 1227 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{19}H_{15}NNaO_2S_2^+$ 376.0436; found 376.0431.



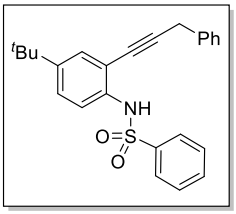
3-Methyl-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)quinoline-8-sulfonamide (1k). White solid. mp = 185–186 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 8.85 (s, 1H), 8.51 (d, J = 2.1 Hz, 1H), 8.38 (dd, J = 7.3, 1.3 Hz, 1H), 7.88 – 7.84 (m, 2H), 7.71 – 7.68 (m, 1H), 7.52 (dd, J = 8.0, 7.5 Hz, 1H), 7.42 – 7.35 (m, 4H), 7.30 (t, J = 7.1 Hz, 1H), 7.23 (dd, J = 7.7, 1.4 Hz, 1H), 7.15 – 7.10 (m, 1H), 6.85 (td, J = 7.6, 1.0 Hz, 1H), 3.90 (s, 2H), 2.31 (s, 3H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 153.2, 141.4, 138.7, 136.2, 135.4, 135.3, 133.3, 132.6, 132.1, 130.9, 129.1, 128.9, 128.8, 128.0, 127.1, 125.4, 123.2, 117.6, 113.4, 94.2, 78.0, 26.1, 18.7; IR (KBr): 3240, 3029, 2917, 2221, 1602, 1490, 1454, 1342, 1285 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{21}N_2O_2S^+$ 413.1318; found 413.1316.



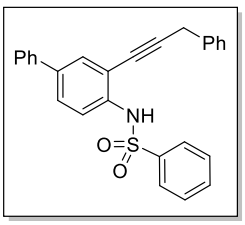
***N*-(5-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl) benzenesulfonamide (1l).** White solid. mp = 105–107 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 7.71 – 7.64 (m, 2H), 7.50 – 7.45 (m, 1H), 7.44 (s, 1H), 7.41 – 7.35 (m, 2H), 7.35 – 7.28 (m, 5H), 7.17 (d, J = 7.8 Hz, 2H), 6.83 (dd, J = 7.8, 0.9 Hz, 1H), 3.79 (s, 2H), 2.32 (s, 3H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 139.8, 139.1, 137.4, 136.2, 133.1, 131.8, 129.0, 128.9, 128.0, 127.2, 127.1, 125.6, 120.9, 112.1, 94.4, 77.4, 26.0, 21.8; IR (KBr): 3259, 3030, 2916, 2873, 2241, 1618, 1505, 1448, 1388 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{22}H_{19}NNaO_2S^+$ 384.1029; found 384.1027.



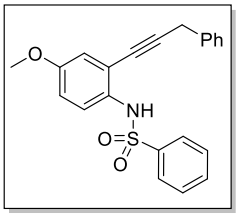
***N*-(4-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1m).** White solid. mp = 97–98 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 7.68 – 7.63 (m, 2H), 7.51 (d, J = 8.3 Hz, 1H), 7.48 – 7.44 (m, 1H), 7.42 – 7.36 (m, 2H), 7.35 – 7.28 (m, 5H), 7.13 – 7.05 (m, 3H), 3.78 (s, 2H), 2.23 (s, 3H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 139.0, 136.1, 135.0, 134.6, 133.0, 132.5, 130.1, 128.9, 128.0, 127.3, 127.1, 120.7, 115.2, 94.6, 77.5, 25.9, 20.7, one resonance was not observed due to coincidental overlap; IR (KBr): 3255, 3031, 2914, 2231, 1596, 1494, 1449, 1334 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{22}H_{19}NNaO_2S^+$ 384.1029; found 384.1028.



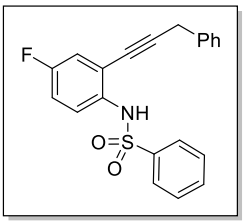
***N*-(4-(*tert*-butyl)-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1n).** White solid. mp = 126–128 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.71 – 7.67 (m, 2H), 7.53 – 7.46 (m, 2H), 7.43 – 7.37 (m, 2H), 7.36 – 7.27 (m, 7H), 7.13 (s, 1H), 3.81 (s, 2H), 1.25 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 147.7, 139.3, 136.2, 135.1, 133.0, 129.00, 128.97, 128.95, 128.1, 127.3, 127.1, 126.6, 119.9, 114.5, 94.4, 77.8, 34.4, 31.2, 26.0; IR (KBr): 3246, 3067, 2956, 2865, 2228, 1598, 1497, 1341 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{25}NNaO_2S^+$ 426.1498; found 426.1492.



***N*-(3-(3-phenylprop-1-yn-1-yl)-[1,1'-biphenyl]-4-yl)benzenesulfonamide (1o).** White solid. mp = 129–131 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 – 7.71 (m, 2H), 7.69 (d, J = 8.5 Hz, 1H), 7.54 (d, J = 2.1 Hz, 1H), 7.52 – 7.48 (m, 4H), 7.44 – 7.30 (m, 10H), 7.27 (s, 1H), 3.84 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 139.4, 139.1, 137.6, 136.7, 136.1, 133.2, 130.6, 129.1, 128.99, 128.96, 128.1, 128.0, 127.7, 127.3, 127.2, 126.8, 120.4, 115.3, 95.3, 77.4, 26.0; IR (KBr): 3257, 3067, 2932, 2235, 1601, 1482, 1447, 1409 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{27}H_{21}NNaO_2S^+$ 446.1185; found 446.1183.

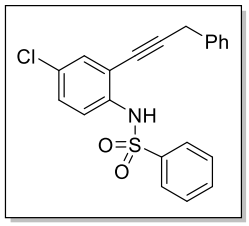


***N*-(4-methoxy-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1p).** White solid. mp = 113–115 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.60 – 7.56 (m, 2H), 7.54 (d, J = 9.0 Hz, 1H), 7.47 – 7.44 (m, 1H), 7.42 – 7.35 (m, 2H), 7.33 – 7.27 (m, 5H), 6.89 – 6.81 (m, 2H), 6.78 (d, J = 2.9 Hz, 1H), 3.74 – 3.72 (d, 5H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 156.9, 139.0, 136.0, 133.0, 130.6, 129.0, 128.9, 128.1, 127.3, 127.2, 123.8, 117.4, 116.5, 115.7, 94.5, 77.4, 55.6, 26.0; IR (KBr): 3257, 3021, 2930, 2840, 2240, 1613, 1492, 1448, 1390, 1288 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{22}H_{19}NNaO_3S^+$ 400.0978; found 400.0976.

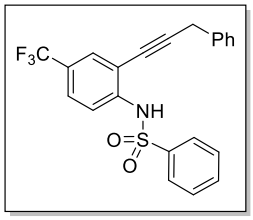


***N*-(4-fluoro-2-(3-phenylprop-1-yn-1-yl)phenyl) benzenesulfonamide (1q).** White solid. mp = 112–114 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.63 – 7.57 (m, 3H), 7.50 – 7.46 (m, 1H), 7.43 – 7.36 (m, 2H), 7.35 – 7.28 (m, 5H), 7.04 (s, 1H), 7.01 – 6.95 (m, 2H), 3.77 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 159.5 (d, $J^1_{C(Ar)-F}$ = 245.4 Hz), 138.8, 135.7, 133.8 (d, $J^4_{C(Ar)-F}$ = 2.9 Hz), 133.2, 129.03, 129.02, 128.0, 127.28, 127.26, 123.1 (d, $J^3_{C(Ar)-F}$ = 8.7 Hz), 118.6 (d, $J^2_{C(Ar)-F}$ = 24.2 Hz), 117.4 (d, $J^3_{C(Ar)-F}$ = 9.9 Hz),

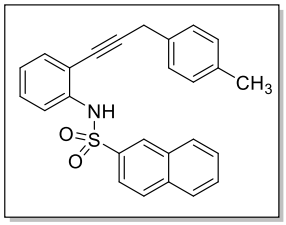
116.6 (d, $J^2_{C(Ar)\text{-}F}$ = 22.7 Hz), 96.1, 77.4, 25.9; IR (KBr): 3246, 3066, 2930, 2231, 1612, 1490, 1393, 1163 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{21}H_{16}FNNaO_2S^+$ 388.0778; found 388.0778.



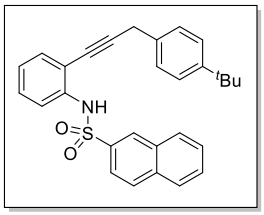
***N*-(4-chloro-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (1r).** White solid. mp = 100–101 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.67 – 7.63 (m, 2H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.51 – 7.48 (m, 1H), 7.42 – 7.37 (m, 2H), 7.36 – 7.30 (m, 5H), 7.26 (s, 1H), 7.22 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.14 (s, 1H), 3.80 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 138.8, 136.3, 135.7, 133.4, 131.8, 130.0, 129.4, 129.1, 129.0, 128.0, 127.3, 127.2, 121.5, 116.6, 96.5, 76.3, 26.0; IR (KBr): 3244, 3028, 2932, 2232, 1598, 1485, 1394, 1338 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{21}H_{16}ClNNaO_2S^+$ 404.0482; found 404.0483.



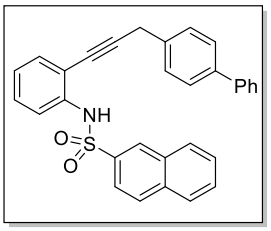
***N*-(2-(3-phenylprop-1-yn-1-yl)-4-(trifluoromethyl)phenyl)benzenesulfonamide (1s).** Yellow solid. mp = 84–85 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.77 – 7.73 (m, 2H), 7.69 (d, *J* = 8.7 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.50 – 7.45 (m, 2H), 7.43 – 7.30 (m, 7H), 3.87 (s, 2H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 140.6, 138.9, 135.7, 133.6, 129.4 (q, $J^3_{C(Ar)\text{-}F}$ = 3.9 Hz), 129.3, 129.1, 128.0, 127.3, 127.2, 126.4 (q, $J^2_{C(Ar)\text{-}F}$ = 33.3 Hz), 126.1 (q, $J^3_{C(Ar)\text{-}F}$ = 3.7 Hz), 123.4 (q, $J^1_{C\text{-}F}$ = 272.0 Hz), 118.6, 114.4, 97.3, 76.1, 26.0; IR (KBr): 3261, 3067, 2935, 2234, 1616, 1497, 1448, 1334, 1175 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{22}H_{16}F_3NNaO_2S^+$ 438.0746; found 438.0758.



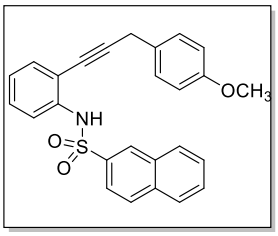
***N*-(2-(3-(p-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1t).** White solid. mp = 137–138 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, *J* = 1.7 Hz, 1H), 7.82 (d, *J* = 9.1 Hz, 2H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.67 – 7.58 (m, 3H), 7.57 – 7.53 (m, 1H), 7.34 (s, 1H), 7.25 – 7.21 (m, 2H), 7.18 (q, *J* = 8.1 Hz, 4H), 6.98 (td, *J* = 7.6, 1.1 Hz, 1H), 3.74 (s, 2H), 2.37 (s, 3H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 137.6, 136.7, 136.1, 135.1, 133.0, 132.2, 132.1, 129.6, 129.4, 129.2, 129.00, 128.96, 128.0, 127.9, 127.6, 124.6, 122.3, 119.9, 114.9, 95.7, 77.4, 25.6, 21.2, one resonance was not observed due to coincidental overlap; IR (KBr): 3267, 3057, 2919, 2224, 1599, 1576, 1493, 1390, 1335 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{26}H_{21}NNaO_2S^+$ 434.1185; found 434.1186.



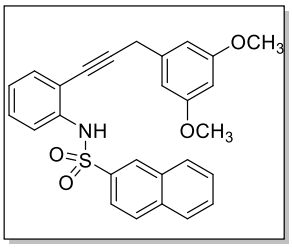
***N*-(2-(3-(4-(*tert*-butyl)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1u).** White solid. mp = 129–131 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, J = 1.6 Hz, 1H), 7.82 (dd, J = 8.0, 3.7 Hz, 2H), 7.75 (d, J = 8.7 Hz, 1H), 7.68 – 7.65 (m, 1H), 7.64 – 7.58 (m, 2H), 7.57 – 7.53 (m, 1H), 7.41 – 7.38 (m, 2H), 7.35 (s, 1H), 7.26 – 7.22 (m, 4H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 3.75 (s, 2H), 1.35 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 150.1, 137.6, 136.1, 135.0, 133.0, 132.2, 132.1, 129.4, 129.2, 129.00, 128.95, 128.0, 127.7, 127.6, 125.9, 124.6, 122.4, 119.9, 114.9, 95.7, 77.4, 34.6, 31.5, 25.5, one resonance was not observed due to coincidental overlap; IR (KBr): 3267, 3057, 2958, 2866, 2222, 1592, 1492, 1397, 1338 cm^{-1}; HRMS (ESI) *m/z:* [M + Na]$^{+}$ calcd for $C_{29}H_{27}NNaO_2S^{+}$ 476.1655; found 476.1658.



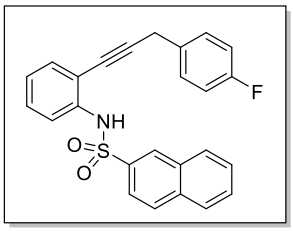
***N*-(2-(3-([1,1'-biphenyl]-4-yl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1v).** Yellow solid. mp = 162–163 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, J = 1.5 Hz, 1H), 7.82 – 7.77 (m, 2H), 7.74 (d, J = 8.7 Hz, 1H), 7.68 – 7.54 (m, 7H), 7.53 – 7.49 (m, 1H), 7.47 – 7.43 (m, 2H), 7.38 – 7.31 (m, 4H), 7.27 – 7.22 (m, 2H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 3.81 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 140.8, 140.1, 137.7, 136.1, 135.1, 135.0, 132.2, 132.1, 129.42, 129.38, 129.37, 129.02, 128.95, 128.4, 128.0, 127.7, 127.6, 127.5, 127.2, 124.6, 122.3, 120.0, 114.8, 95.2, 77.5, 25.7, one resonance was not observed due to coincidental overlap; IR (KBr): 3243, 3030, 2913, 2219, 1588, 1487, 1394, 1335 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^{+}$ calcd for $C_{31}H_{23}NNaO_2S^{+}$ 496.1342; found 496.1341.



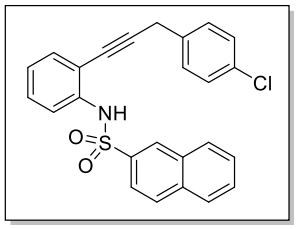
***N*-(2-(3-(4-methoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1w).** Yellow solid. mp = 134–135 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.32 (d, J = 1.9 Hz, 1H), 7.83 (d, J = 9.0 Hz, 2H), 7.77 (d, J = 8.6 Hz, 1H), 7.67 – 7.58 (m, 3H), 7.57 – 7.53 (m, 1H), 7.31 (s, 1H), 7.26 – 7.18 (m, 4H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 6.93 – 6.85 (m, 2H), 3.82 (s, 3H), 3.71 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 158.8, 137.6, 136.1, 135.1, 132.2, 132.1, 129.4, 129.3, 129.03, 129.00, 128.97, 128.1, 128.0, 127.6, 124.6, 122.4, 119.9, 114.9, 114.4, 95.8, 77.4, 55.5, 25.2, one resonance was not observed due to coincidental overlap; IR (KBr): 3246, 3033, 2907, 2834, 2232, 1611, 1509, 1487, 1394, 1247 cm^{-1}; HRMS (ESI) *m/z*: [M + Na]$^{+}$ calcd for $C_{26}H_{21}NNaO_3S^{+}$ 450.1134; found 450.1127.



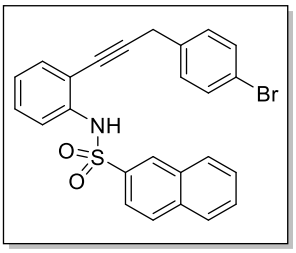
***N*-(2-(3-(3,5-dimethoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1x).** Yellow solid. mp = 151–153 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.31 (d, J = 1.4 Hz, 1H), 7.85 – 7.77 (m, 3H), 7.66 – 7.62 (m, 2H), 7.61 – 7.57 (m, 1H), 7.56 – 7.52 (m, 1H), 7.32 (s, 1H), 7.25 – 7.21 (m, 2H), 6.97 (td, J = 7.6, 1.0 Hz, 1H), 6.50 (d, J = 2.2 Hz, 2H), 6.42 (t, J = 2.2 Hz, 1H), 3.82 (s, 6H), 3.72 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 161.3, 138.4, 137.7, 136.0, 135.1, 132.2, 132.1, 129.5, 129.4, 129.3, 129.01, 129.00, 128.0, 127.6, 124.5, 122.4, 119.8, 114.7, 106.2, 99.1, 95.1, 77.5, 55.5, 26.2; IR (KBr): 3244, 3058, 2935, 2837, 2229, 1610, 1487, 1402, 1333 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{27}H_{23}NNaO_4S^+$ 480.1240; found 480.1242.



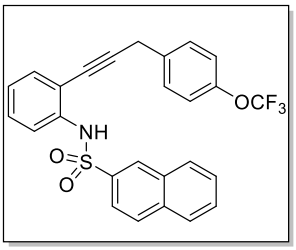
***N*-(2-(3-(4-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1y).** White solid. mp = 126–128 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, J = 1.9 Hz, 1H), 7.83 (d, J = 9.1 Hz, 2H), 7.77 (d, J = 8.6 Hz, 1H), 7.67 – 7.59 (m, 3H), 7.58 – 7.53 (m, 1H), 7.29 (s, 1H), 7.26 – 7.20 (m, 4H), 7.05 – 6.95 (m, 3H), 3.74 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 162.0 (d, $J^{1}_{C(Ar)\text{-}F}$ = 245.3 Hz), 137.7, 136.1, 135.1, 132.3, 132.1, 131.7 (d, $J^{4}_{C(Ar)\text{-}F}$ = 3.2 Hz), 129.48, 129.46, 129.41, 129.38, 129.0 (d, $J^{3}_{C(Ar)\text{-}F}$ = 8.3 Hz), 128.0, 127.7, 124.6, 122.3, 120.0, 115.7 (d, $J^{2}_{C(Ar)\text{-}F}$ = 21.6 Hz), 114.7, 95.0, 77.6, 25.2, one resonance was not observed due to coincidental overlap; IR (KBr): 3256, 3067, 2916, 2224, 1628, 1507, 1487, 1334, 1159 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{18}FNNaO_2S^+$ 438.0934; found 438.0931.



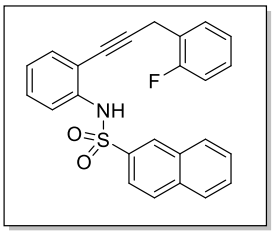
***N*-(2-(3-(4-chlorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1z).** Yellow solid. mp = 140–141 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, J = 1.6 Hz, 1H), 7.84 – 7.78 (m, 2H), 7.75 (d, J = 8.7 Hz, 1H), 7.67 – 7.58 (m, 3H), 7.57 – 7.52 (m, 1H), 7.32 (s, 1H), 7.28 – 7.23 (m, 4H), 7.20 – 7.14 (m, 2H), 6.99 (td, J = 7.7, 1.1 Hz, 1H), 3.73 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.6, 136.1, 135.0, 134.5, 132.9, 132.3, 132.0, 129.5, 129.40, 129.35, 129.3, 129.1, 129.0, 128.9, 128.0, 127.6, 124.7, 122.2, 120.1, 114.7, 94.5, 77.7, 25.4; IR (KBr): 3273, 3060, 2913, 2228, 1601, 1491, 1448, 1286 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{18}ClNNaO_2S^+$ 454.0639; found 454.0643.



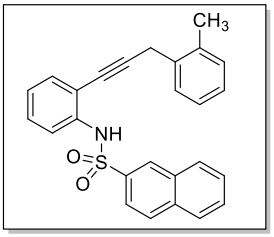
***N*-(2-(3-(4-bromophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1aa).** Yellow solid. mp = 151–152 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.32 (d, J = 1.5 Hz, 1H), 7.82 (dd, J = 7.9, 4.4 Hz, 2H), 7.75 (d, J = 8.7 Hz, 1H), 7.67 – 7.59 (m, 3H), 7.58 – 7.54 (m, 1H), 7.45 – 7.38 (m, 2H), 7.29 – 7.24 (m, 3H), 7.12 (d, J = 8.4 Hz, 2H), 6.99 (td, J = 7.6, 1.1 Hz, 1H), 3.71 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.7, 136.1, 135.1, 135.0, 132.3, 132.1, 132.0, 129.7, 129.5, 129.42, 129.37, 129.1, 128.9, 128.0, 127.7, 124.7, 122.2, 120.9, 120.1, 114.7, 94.4, 77.8, 25.5; IR (KBr): 3268, 3058, 2913, 2232, 1599, 1492, 1449, 1408 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{18}BrNNaO_2S^+$ 498.0134; found 498.0112.



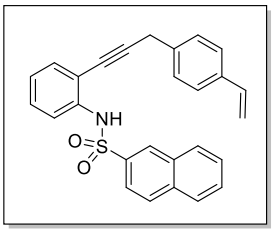
***N*-(2-(3-(4-(trifluoromethoxy)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1ab).** Yellow solid. mp = 145–146 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.34 (d, J = 1.4 Hz, 1H), 7.84 – 7.79 (m, 2H), 7.76 (d, J = 8.7 Hz, 1H), 7.67 – 7.63 (m, 2H), 7.62 – 7.58 (m, 1H), 7.56 – 7.52 (m, 1H), 7.32 (s, 1H), 7.28 – 7.24 (m, 4H), 7.15 (d, J = 8.1 Hz, 2H), 7.00 (td, J = 7.6, 1.0 Hz, 1H), 3.77 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 148.3, 137.7, 136.1, 135.1, 134.7, 132.3, 132.1, 129.5, 129.4, 129.34, 129.30, 129.1, 128.9, 128.0, 127.7, 124.7, 122.2, 121.4, 120.6 (q, $J_{C\text{-}F}$ = 257.1 Hz), 120.2, 114.7, 94.3, 77.9, 25.4; IR (KBr): 3264, 3062, 2933, 2232, 1594, 1506, 1493, 1396, 1170 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{26}H_{18}F_3NNaO_3S^+$ 504.0852; found 504.0852.



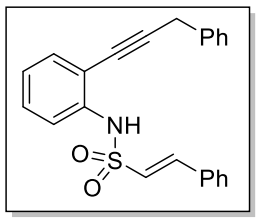
***N*-(2-(3-(2-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1ac).** White solid. mp = 143–145 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.32 (d, J = 1.6 Hz, 1H), 7.82 (dd, J = 8.0, 2.9 Hz, 2H), 7.77 (d, J = 8.7 Hz, 1H), 7.69 – 7.51 (m, 4H), 7.38 – 7.27 (m, 3H), 7.24 (d, J = 7.6 Hz, 2H), 7.16 – 7.08 (m, 2H), 6.98 (td, J = 7.7, 1.1 Hz, 1H), 3.78 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 160.7 (d, $J^{1}_{C(Ar)\text{-}F}$ = 246.5 Hz), 137.8, 136.1, 135.1, 132.2, 132.1, 129.9 (d, $J^{4}_{C(Ar)\text{-}F}$ = 3.9 Hz), 129.5, 129.4, 129.1, 129.0 (d, $J^{3}_{C(Ar)\text{-}F}$ = 6.0 Hz), 128.0, 127.6, 124.60, 124.57, 123.3 (d, $J^{2}_{C(Ar)\text{-}F}$ = 15.2 Hz), 122.3, 120.0, 115.6 (d, $J^{2}_{C(Ar)\text{-}F}$ = 21.2 Hz), 114.7, 93.8, 77.4, 19.7 (d, $J^{3}_{C\text{-}F}$ = 4.9 Hz)，two resonances were not observed due to coincidental overlap; IR (KBr): 3258, 3062, 2963, 2237, 1588, 1489, 1455, 1159 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{25}H_{18}FNNaO_2S^+$ 438.0934; found 438.0936.



***N*-(2-(3-(o-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1ad).** White solid. mp = 145–147 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, J = 1.7 Hz, 1H), 7.82 (d, J = 9.2 Hz, 2H), 7.74 (d, J = 8.8 Hz, 1H), 7.69 – 7.65 (m, 1H), 7.63 – 7.58 (m, 2H), 7.57 – 7.52 (m, 1H), 7.40 – 7.30 (m, 2H), 7.26 – 7.19 (m, 5H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 3.70 (s, 2H), 2.35 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.6, 136.01, 135.97, 135.0, 134.3, 132.2, 132.0, 130.5, 129.4, 129.3, 129.2, 129.0, 128.9, 128.4, 128.0, 127.5, 127.4, 126.5, 124.5, 122.3, 119.8, 114.9, 95.0, 77.4, 24.1, 19.4; IR (KBr): 3259, 3054, 2972, 2231, 1624, 1488, 1398, 1333 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{26}H_{21}NNaO_2S^+$ 434.1185; found 434.1184.

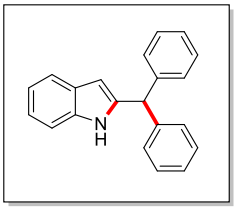


***N*-(2-(3-(4-vinylphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (1ae).** Yellow solid. mp = 144–146 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.31 (d, J = 1.7 Hz, 1H), 7.80 (d, J = 9.1 Hz, 2H), 7.73 (d, J = 8.7 Hz, 1H), 7.66 – 7.57 (m, 3H), 7.56 – 7.52 (m, 1H), 7.38 (d, J = 8.1 Hz, 2H), 7.32 (s, 1H), 7.25 – 7.20 (m, 4H), 6.98 (td, J = 7.6, 1.1 Hz, 1H), 6.73 (dd, J = 17.6, 10.9 Hz, 1H), 5.76 (dd, J = 17.6, 0.6 Hz, 1H), 5.27 (dd, J = 10.9, 0.5 Hz, 1H), 3.75 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.6, 136.6, 136.5, 136.1, 135.6, 135.1, 132.2, 132.1, 129.41, 129.39, 129.3, 129.0, 128.9, 128.2, 128.0, 127.6, 126.8, 124.6, 122.3, 120.0, 114.9, 114.0, 95.2, 25.7, one resonance was not observed due to coincidental overlap; IR (KBr): 3263, 3054, 2923, 2224, 1628, 1579, 1492, 1393 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{27}H_{21}NNaO_2S^+$ 446.1185; found 446.1182.

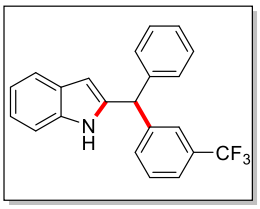


(*E*)-2-phenyl-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)ethene-1-sulfonamide (1aE). White solid. mp = 115–117 °C. 1H NMR (500 MHz, DMSO–d_6): δ 9.45 (s, 1H), 7.52 – 7.43 (m, 4H), 7.43 – 7.37 (m, 3H), 7.37 – 7.31 (m, 5H), 7.29 – 7.23 (m, 2H), 7.17 (td, J = 7.5, 1.2 Hz, 1H), 7.13 (d, J = 15.5 Hz, 1H), 3.91 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, DMSO–d_6): δ 139.9, 137.4, 136.5, 132.55, 132.48, 130.6, 128.9, 128.8, 128.5, 128.4, 128.1, 126.6, 126.5, 125.9, 125.5, 119.0, 94.1, 78.5, 25.2; IR (KBr): 3325, 3056, 3028, 2215, 1612, 1509, 1433, 1152 cm^{-1}; HRMS (ESI) *m/z*: $[M + Na]^+$ calcd for $C_{23}H_{19}NNaO_2S^+$ 396.1029; found 396.1032.

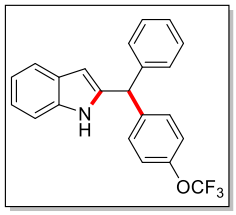
Characterization Data for 2-diarylmethylalkyl indoles



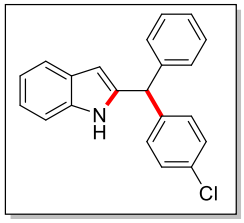
2-Benzhydryl-*1H*-indole (2a). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1a**) (34.7 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (22.1 mg, 78% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.68 (s, 1H), 7.48 (d, J = 7.7 Hz, 1H), 7.32 – 7.26 (m, 4H), 7.25 – 7.21 (m, 2H), 7.21 – 7.15 (m, 5H), 7.11 – 7.07 (m, 1H), 7.06 – 7.02 (m, 1H), 6.07 (s, 1H), 5.54 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.1, 140.8, 136.2, 129.0, 128.6, 128.3, 126.9, 121.5, 120.2, 119.7, 110.6, 102.8, 51.0; IR (thin film): 3400, 3058, 3026, 1620, 1583, 1493, 1455, 1289, 1029, 748 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{21}H_{18}N^{+}$ 284.1434; found 284.1436. The data matches the previously published data[4].



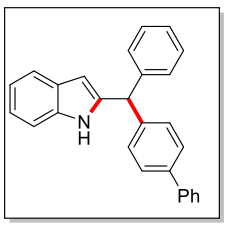
2-(Phenyl(3-(trifluoromethyl)phenyl)methyl)-*1H*-indole (2b). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-3-(trifluoromethyl)benzenesulfonamide (**1b**) (41.5 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (16.8 mg, 48% yield) as yellow oil. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.07 (s, 1H), 7.64 (d, J = 7.8 Hz, 1H), 7.60 – 7.56 (m, 2H), 7.53 (d, J = 7.6 Hz, 1H), 7.43 (d, J = 7.9 Hz, 1H), 7.38 – 7.33 (m, 2H), 7.31 – 7.26 (m, 2H), 7.25 – 7.22 (m, 2H), 7.05 – 7.00 (m, 1H), 6.96 – 6.92 (m, 1H), 5.93 – 5.88 (m, 1H), 5.84 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, DMSO–d_6): δ 144.2, 142.0, 140.6, 136.6, 132.9, 129.5, 129.1 (q, $J^{2}_{C(Ar)-F}$ = 29.1 Hz), 128.7, 128.6, 127.6, 126.8, 125.0 (q, $J^{3}_{C(Ar)-F}$ = 3.6), 123.5 (q, $J^{3}_{C(Ar)-F}$ = 3.7), 124.2 (q, J^{1}_{C-F} = 272.3), 120.9, 119.7, 118.8, 111.0, 101.3, 49.6; IR (thin film): 3420, 3023, 2923, 1634, 1563, 1484, 1433, 1290, 853, 759 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{22}H_{17}F_3N^{+}$ 352.1308; found 352.1297.



2-(Phenyl(4-(trifluoromethoxy)phenyl)methyl)-*1H*-indole (2c). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-4-(trifluoromethoxy)benzenesulfonamide (**1c**) (43.1 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (27.6 mg, 75% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (s, 1H), 7.50 (d, J = 7.8 Hz, 1H), 7.34 – 7.26 (m, 3H), 7.24 – 7.17 (m, 5H), 7.17 – 7.10 (m, 3H), 7.08 – 7.04 (m, 1H), 6.12 – 6.04 (m, 1H), 5.57 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 148.1, 141.5, 140.8, 140.2, 136.3, 130.3, 128.9, 128.8, 128.2, 127.3, 121.8, 121.0, 120.5 (q, $J_{C\text{-}F}$ = 257.1 Hz), 120.3, 119.9, 110.6, 103.0, 50.4; IR (thin film): 3398, 3060, 1667, 1621, 1505, 1456, 1260, 1166, 791, 749 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{22}H_{17}F_3NO^{+}$ 368.1257; found 368.1254.

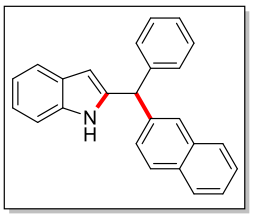


2-((4-Chlorophenyl)(phenyl)methyl)-*1H*-indole (2d). The reaction was performed following General Procedures A with 4-chloro-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1d**) (38.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (22.9 mg, 72% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.71 (s, 1H), 7.49 (d, J = 7.8 Hz, 1H), 7.35 – 7.23 (m, 5H), 7.22 – 7.15 (m, 3H), 7.14 – 7.10 (m, 3H), 7.08 – 7.04 (m, 1H), 6.08 – 6.02 (m, 1H), 5.52 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 141.5, 140.6, 140.2, 136.2, 132.8, 130.3, 128.9, 128.73, 128.70, 128.2, 127.2, 121.8, 120.3, 119.9, 110.6, 103.0, 50.3; IR (thin film): 3403, 3058, 3028, 1620, 1565, 1467, 1413, 1069, 788, 739 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{21}H_{17}ClN^{+}$ 318.1044; found 318.1047.

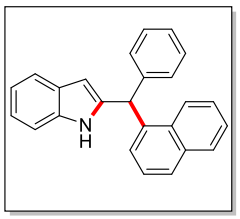


2-([1,1'-Biphenyl]-4-yl(phenyl)methyl)-*1H*-indole (2e). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-[1,1'-biphenyl]-4-sulfonamide (**1e**) (42.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The

crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.2 mg, 70% yield) as white solid. mp = 64–66 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (s, 1H), 7.57 – 7.49 (m, 5H), 7.43 – 7.38 (m, 2H), 7.34 – 7.29 (m, 3H), 7.28 – 7.22 (m, 5H), 7.22 – 7.19 (m, 1H), 7.13 – 7.09 (m, 1H), 7.06 (td, J = 7.5, 1.1 Hz, 1H), 6.15 – 6.09 (m, 1H), 5.59 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.0, 141.1, 140.7, 140.6, 139.8, 136.2, 129.4, 129.0, 128.8, 128.6, 128.3, 127.3, 127.0, 121.6, 120.3, 119.8, 110.6, 102.8, 50.7, two resonances were not observed due to coincidental overlap; IR (thin film): 3410, 3056, 3027, 1620, 1600, 1486, 1457, 1265, 839, 746 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{22}N^+$ 360.1747; found 360.1735.

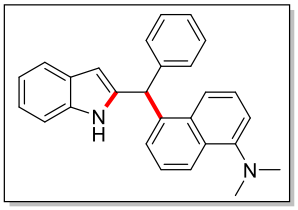


2-(Naphthalen-2-yl(phenyl)methyl)-*1H*-indole (2f). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1f**) (39.8 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (31.4 mg, 94% yield) as white solid. mp = 74–76 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.84 – 7.74 (m, 3H), 7.73 – 7.69 (m, 1H), 7.59 (s, 1H), 7.51 (d, J = 7.8 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.36 (dd, J = 8.5, 1.8 Hz, 1H), 7.34 – 7.29 (m, 2H), 7.29 – 7.23 (m, 3H), 7.22 – 7.19 (m, 1H), 7.14 – 7.10 (m, 1H), 7.08 – 7.04 (m, 1H), 6.18 – 6.09 (m, 1H), 5.73 (s, 1H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 141.9, 140.6, 139.6, 136.2, 133.4, 132.4, 129.1, 128.6, 128.4, 128.3, 127.9, 127.6, 127.41, 127.38, 127.0, 126.2, 125.9, 121.6, 120.3, 119.8, 110.6, 103.0, 51.1; IR (thin film): 3406, 3055, 3026, 2961,2924, 2853, 1617, 1599, 1492, 1455, 1290,740 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{20}N^+$ 334.1590; found 334.1596.

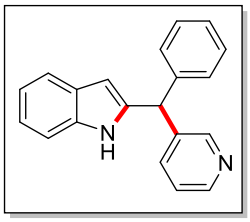


2-(Naphthalen-1-yl(phenyl)methyl)-*1H*-indole (2g). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (**1g**) (39.8 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at 60 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.9 mg, 78% yield) as white solid. mp = 80–81 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.99 (d, J = 8.4

Hz, 1H), 7.84 (d, J = 7.7 Hz, 1H), 7.76 (d, J = 8.2 Hz, 1H), 7.67 (s, 1H), 7.46 (d, J = 7.7 Hz, 1H), 7.43 – 7.40 (m, 1H), 7.39 – 7.35 (m, 1H), 7.34 – 7.31 (m, 1H), 7.29 – 7.21 (m, 3H), 7.20 – 7.17 (m, 2H), 7.13 (d, J = 7.9 Hz, 1H), 7.10 – 7.01 (m, 3H), 6.28 (s, 1H), 6.06 (s, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 141.8, 140.6, 137.9, 136.1, 133.9, 131.7, 129.1, 128.8, 128.6, 128.4, 127.8, 127.0, 126.9, 126.4, 125.7, 125.4, 123.8, 121.5, 120.2, 119.7, 110.6, 103.2, 47.1; IR (thin film): 3406, 3056, 1597, 1492, 1455, 1414, 1291, 1264, 782 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{20}N^+$ 334.1590; found 334.1588.

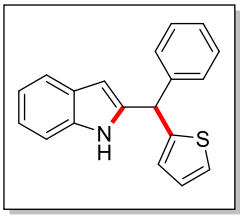


5-((*1H*-indol-2-yl)(phenyl)methyl)-*N*,*N*-dimethylnaphthalen-1-amine (2h). The reaction was performed following General Procedures A with 5-(dimethylamino)-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (**1h**) (44.1 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (32.7 mg, 87% yield) as white solid. mp = 103–105 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, J = 8.3 Hz, 1H), 7.79 (s, 1H), 7.70 (d, J = 8.5 Hz, 1H), 7.48 (d, J = 7.8 Hz, 1H), 7.40 – 7.34 (m, 1H), 7.32 – 7.22 (m, 4H), 7.22 – 7.14 (m, 3H), 7.11 – 7.02 (m, 4H), 6.29 (s, 1H), 6.09 – 6.06 (m, 1H), 2.88 (s, 6H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 142.0, 140.9, 138.2, 136.1, 133.0, 129.2, 129.1, 128.6, 128.4, 127.0, 126.9, 126.2, 124.7, 123.8, 121.5, 120.2, 119.7, 114.1, 110.6, 103.1, 47.4, 45.4, two resonances were not observed due to coincidental overlap; IR (thin film): 3406, 3057, 3026, 2940, 1614, 1561, 1508, 1407, 1284, 957, 788 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{25}N_2^+$ 377.2012; found 377.2014.

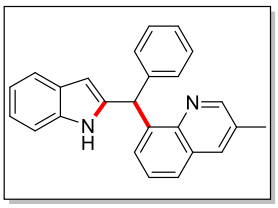


2-(Phenyl(pyridin-3-yl)methyl)-*1H*-indole (2i). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)pyridine-3-sulfonamide (**1i**) (34.8 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (19.1 mg, 67% yield) as white solid. mp = 160–162 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 8.47 (d, J = 3.3 Hz, 2H), 8.33 (s, 1H), 7.56 – 7.48 (m, 2H), 7.36 – 7.31 (m, 2H), 7.30 – 7.27 (m, 1H), 7.26 –

7.23 (m, 2H), 7.22 – 7.18 (m, 2H), 7.15 – 7.11 (m, 1H), 7.09 – 7.05 (m, 1H), 6.07 – 6.05 (m, 1H), 5.59 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 149.7, 147.7, 140.7, 139.4, 138.0, 136.7, 136.4, 128.78, 128.77, 128.0, 127.3, 123.5, 121.8, 120.2, 119.8, 110.6, 103.0, 48.5; IR (thin film): 3393, 3030, 2923, 1616, 1577, 1494, 1456, 1265, 787, 749 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{17}N_2^+$ 285.1386; found 285.1392.

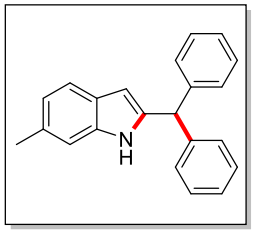


2-(phenyl(thiophen-2-yl)methyl)-*1H*-indole (2j). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)thiophene-2-sulfonamide (**1j**) (35.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (11.9 mg, 41% yield) as yellow oil. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.06 (s, 1H), 7.45 – 7.41 (m, 2H), 7.37 – 7.32 (m, 4H), 7.30 – 7.26 (m, 2H), 7.04 – 6.98 (m, 2H), 6.95 – 6.92 (m, 1H), 6.88 – 6.84 (m, 1H), 6.11 – 6.06 (m, 1H), 5.88 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, DMSO–d_6): δ 146.3, 142.7, 141.1, 136.4, 128.5, 128.3, 127.6, 126.9, 126.7, 125.9, 125.0, 120.8, 119.7, 118.8, 111.1, 100.4, 45.4; IR (thin film): 3401, 3025, 2945, 1632, 1568, 1476, 1435, 1293, 840 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{16}NS^+$ 290.0998; found 290.1003.

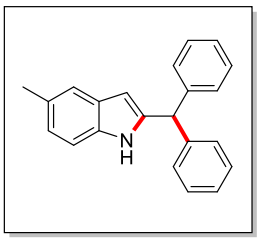


8-((*1H*-indol-2-yl)(phenyl)methyl)-3-methylquinoline (2k). The reaction was performed following General Procedures A with 3-methyl-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)quinoline-8-sulfonamide (**1k**) (41.3 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (15.8 mg, 45% yield) as white solid. mp = 165–166 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.16 (s, 1H), 8.53 (d, J = 2.2 Hz, 1H), 7.80 (d, J = 0.9 Hz, 1H), 7.58 (dd, J = 8.0, 1.5 Hz, 1H), 7.51 – 7.45 (m, 2H), 7.44 – 7.40 (m, 1H), 7.28 – 7.17 (m, 6H), 7.11 – 7.01 (m, 3H), 6.15 – 6.09 (m, 1H), 2.39 (s, 3H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 151.5, 143.9, 142.4, 141.9, 140.6, 136.6, 135.3, 130.6, 129.4, 129.1, 128.5, 128.44, 128.41, 126.63, 126.59, 126.4, 121.4, 120.2, 119.5, 110.8, 102.8, 45.5, 18.7; IR (thin film): 3391, 3028, 2921, 1603, 1541, 1492, 1435, 1289, 885, 769 cm^{-1}; HRMS

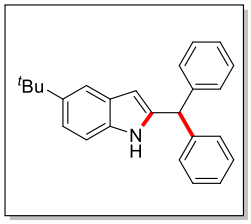
(ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{21}N_2^+$ 349.1699; found 349.1693.



2-Benzhydryl-6-methyl-*1H*-indole (2l). The reaction was performed following General Procedures A with *N*-(5-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1l**) (36.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (18.7 mg, 63% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.63 (s, 1H), 7.38 (d, J = 8.0 Hz, 1H), 7.33 – 7.27 (m, 4H), 7.27 – 7.23 (m, 2H), 7.22 – 7.19 (m, 4H), 7.01 (s, 1H), 6.90 – 6.88 (m, 1H), 6.02 (s, 1H), 5.55 (s, 1H), 2.42 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.2, 140.1, 136.7, 131.3, 129.0, 128.6, 126.9, 126.1, 121.4, 119.9, 110.6, 102.6, 51.0, 21.7; IR (thin film): 3396, 3060, 3923, 2915, 2864, 1626, 1581, 1493, 1451, 1332, 810, 700 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}N^+$ 298.1590; found 298.1591.

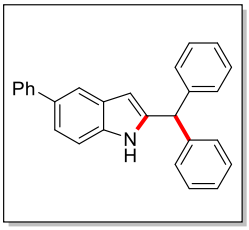


2-Benzhydryl-5-methyl-*1H*-indole (2m). The reaction was performed following General Procedures A with *N*-(4-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1m**) (36.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (22.1 mg, 74% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.54 (s, 1H), 7.23 – 7.18 (m, 5H), 7.18 – 7.14 (m, 2H), 7.12 – 7.09 (m, 4H), 7.00 (d, J = 8.2 Hz, 1H), 6.85 (dd, J = 8.3, 1.3 Hz, 1H), 5.92 (s, 1H), 5.46 (s, 1H), 2.32 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 141.1, 139.8, 133.4, 128.0, 127.9, 127.5, 125.9, 122.0, 118.9, 109.2, 101.3, 50.0, 20.4, one resonance was not observed due to coincidental overlap; IR (thin film): 3400, 3059, 3025, 2919, 2859, 1634, 1585, 1492, 1452, 1311, 1030, 797 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}N^+$ 298.1590; found 298.1583. The data matches the previously published data[5].

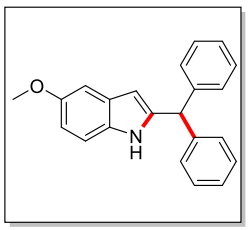


2-Benzhydryl-5-(*tert*-butyl)-*1H*-indole (2n). The reaction was performed following General Procedures A with *N*-(4-(tert-butyl)-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1n**)

(40.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (20.4 mg, 60% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.73 (s, 1H), 7.58 (d, J = 1.6 Hz, 1H), 7.38 – 7.34 (m, 4H), 7.32 – 7.26 (m, 7H), 7.21 (d, J = 8.5 Hz, 1H), 6.13 (s, 1H), 5.63 (s, 1H), 1.42 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.7, 142.2, 141.0, 134.5, 129.1, 128.6, 128.2, 127.0, 119.9, 116.2, 110.2, 102.9, 51.1, 34.6, 32.0; IR (thin film): 3403, 3060, 3026, 2960, 2865, 1599, 1585, 1493, 1452, 1361, 1253, 878, 746 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{25}H_{26}N^+$ 340.2060; found 340.2065.

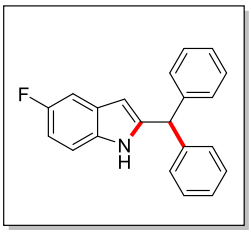


2-Benzhydryl-5-phenyl-*1H*-indole (2o). The reaction was performed following General Procedures A with *N*-(3-(3-phenylprop-1-yn-1-yl)-[1,1'-biphenyl]-4-yl)benzenesulfonamide (**1o**) (42.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (24.0 mg, 67% yield) as yellow oil. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.05 (s, 1H), 7.67 – 7.64 (m, 1H), 7.60 – 7.56 (m, 2H), 7.40 – 7.35 (m, 2H), 7.34 – 7.27 (m, 6H), 7.25 – 7.19 (m, 7H), 5.96 – 5.90 (m, 1H), 5.64 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, DMSO–d_6): δ 142.7, 142.3, 142.0, 136.2, 131.4, 128.74, 128.71, 128.4, 128.3, 126.64, 126.57, 126.1, 120.1, 117.7, 111.4, 101.6, 50.2; IR (thin film): 3405, 3029, 1638, 1567, 1487, 1436, 1324, 1253, 830, 759 cm^{-1}. HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{27}H_{22}N^+$ 360.1747; found 360.1741.

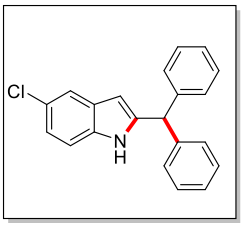


2-Benzhydryl-5-methoxy-*1H*-indole (2p). The reaction was performed following General Procedures A with *N*-(4-methoxy-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1p**) (37.7 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (16.4 mg, 52% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.67 (s, 1H), 7.32 – 7.28 (m, 4H), 7.26 – 7.22 (m, 2H), 7.21 – 7.18 (m, 4H), 7.08 (d, J = 8.8 Hz, 1H), 6.97 (d, J = 2.4

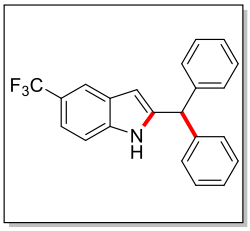
Hz, 1H), 6.77 (dd, J = 8.8, 2.5 Hz, 1H), 6.02 (s, 1H), 5.54 (s, 1H), 3.79 (s, 3H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 154.1, 142.1, 141.6, 131.3, 129.0, 128.7, 128.6, 126.9, 111.5, 111.3, 102.6, 102.2, 55.8, 51.0; IR (thin film): 3400, 3344, 3029, 2937, 1623, 1585, 1491, 1452, 1214, 836, 740 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}NO^+$ 314.1539; found 314.1544.



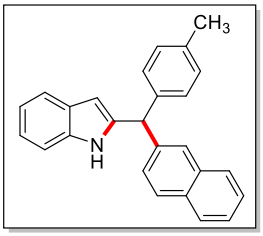
2-Benzhydryl-5-fluoro-*1H*-indole (2q). The reaction was performed following General Procedures A with *N*-(4-fluoro-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1q**) (36.5 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (9.6 mg, 32% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 (s, 1H), 7.34 – 7.30 (m, 4H), 7.28 – 7.24 (m, 2H), 7.22 – 7.18 (m, 4H), 7.12 (td, J = 9.3, 3.4 Hz, 2H), 6.88 – 6.83 (m, 1H), 6.08 – 6.03 (m, 1H), 5.57 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 157.9 (d, $J^{1}_{C(Ar)-F}$ = 234.0 Hz), 142.7, 141.8, 132.7, 128.9, 128.7, 127.1, 111.1 (d, $J^{3}_{C(Ar)-F}$ = 9.7 Hz), 109.7 (d, $J^{2}_{C(Ar)-F}$ = 26.2 Hz), 105.1 (d, $J^{2}_{C(Ar)-F}$ = 23.4 Hz), 102.9 (d, $J^{4}_{C(Ar)-F}$ = 4.4 Hz), 51.1, one resonance was not observed due to coincidental overlap; IR (thin film): 3441, 3062, 3025, 1628, 1584, 1486, 1452, 1261, 1158, 782, 700 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}FN^+$ 302.1340; found 302.1347.



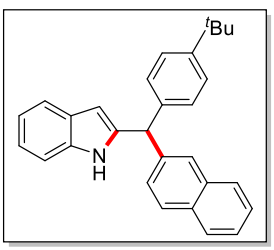
2-Benzhydryl-5-chloro-*1H*-indole (2r). The reaction was performed following General Procedures A with *N*-(4-chloro-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1r**) (38.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (11.1 mg, 35% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (s, 1H), 7.45 (d, J = 1.9 Hz, 1H), 7.34 – 7.29 (m, 4H), 7.29 – 7.24 (m, 2H), 7.22 – 7.17 (m, 4H), 7.12 (d, J = 8.6 Hz, 1H), 7.06 (dd, J = 8.6, 2.0 Hz, 1H), 6.06 – 5.99 (m, 1H), 5.56 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 142.3, 141.7, 134.5, 129.4, 128.9, 128.7, 127.1, 125.3, 121.8, 119.6, 111.5, 102.5, 51.0; IR (thin film): 3416, 3060, 3023, 1620, 1577, 1493, 1469, 1308, 794, 739 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}ClN^+$ 318.1044; found 318.1047. The data matches the previously published data[5].



2-Benzhydryl-5-(trifluoromethyl)-*1H*-indole (2s). The reaction was performed following General Procedures A with *N*-(2-(3-phenylprop-1-yn-1-yl)-4-(trifluoromethyl)phenyl)benzenesulfonamide (**1s**) (41.5 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (13.4 mg, 38% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.98 (s, 1H), 7.79 (d, J = 0.6 Hz, 1H), 7.38 – 7.31 (m, 5H), 7.30 – 7.26 (m, 3H), 7.23 – 7.19 (m, 4H), 6.20 – 6.14 (m, 1H), 5.60 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.7, 141.6, 137.5, 128.9, 128.8, 127.7, 127.2, 125.3 (q, $J^{1}_{C\text{-}F}$ = 271.4 Hz), 122.2 (q, $J^{2}_{C(Ar)\text{-}F}$ = 31.6 Hz), 118.4 (q, $J^{3}_{C(Ar)\text{-}F}$ = 3.5 Hz), 117.9 (q, $J^{3}_{C(Ar)\text{-}F}$ = 4.2 Hz), 110.8, 103.5, 51.0; IR (thin film): 3417, 3062, 3028, 2925, 1627, 1550, 1494, 1452, 1331, 1160, 809, 746 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}F_3N^+$ 352.1308; found 352.1302.

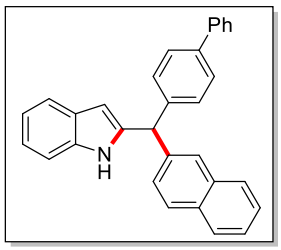


2-(Naphthalen-2-yl(p-tolyl)methyl)-*1H*-indole (2t). The reaction was performed following General Procedures A with *N*-(2-(3-(p-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1t**) (41.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (32.0 mg, 92% yield) as white solid. mp = 76–78 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 – 7.73 (m, 1H), 7.74 (d, J = 8.5 Hz, 1H), 7.70 – 7.65 (m, 2H), 7.56 (s, 1H), 7.48 (d, J = 7.7 Hz, 1H), 7.43 – 7.38 (m, 2H), 7.33 (dd, J = 8.5, 1.8 Hz, 1H), 7.15 – 7.02 (m, 7H), 6.10 (s, 1H), 5.62 (s, 1H), 2.31 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 140.8, 139.8, 138.9, 136.6, 136.2, 133.4, 132.4, 129.3, 128.9, 128.3, 128.2, 127.8, 127.6, 127.4, 127.2, 126.1, 125.8, 121.5, 120.2, 119.7, 110.6, 102.8, 50.7, 21.0; IR (thin film): 3405, 3052, 3021, 2922, 2862, 1621, 1603, 1509, 1467, 1340, 1265, 818, 755 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{22}N^+$ 348.1747; found 348.1750.

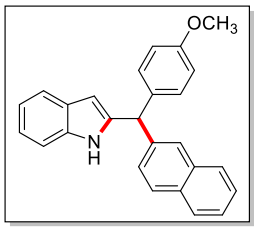


2-((4-(*Tert*-butyl)phenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2u). The reaction was performed following General Procedures A with *N*-(2-(3-(4-(tert-butyl)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1u**) (45.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and

KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (31.2 mg, 80% yield) as yellow solid. mp = 66–68 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.81 – 7.67 (m, 4H), 7.60 (s, 1H), 7.49 (d, J = 7.7 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.36 (dd, J = 8.5, 1.8 Hz, 1H), 7.33 – 7.30 (m, 2H), 7.18 – 7.14 (m, 3H), 7.12 – 7.08 (m, 1H), 7.07 – 7.03 (m, 1H), 6.13 (s, 1H), 5.67 (s, 1H), 1.30 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 150.0, 141.0, 140.0, 138.9, 136.3, 133.5, 132.5, 128.8, 128.5, 128.3, 128.0, 127.7, 127.6, 127.4, 126.2, 126.0, 125.6, 121.6, 120.4, 119.8, 110.7, 102.9, 50.8, 34.6, 31.5; IR (thin film): 3409, 3054, 2962, 2902, 2886, 1623, 1600, 1507, 1412, 1363, 1340, 817, 741 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{29}H_{28}N^+$ 390.2216; found 390.2220.

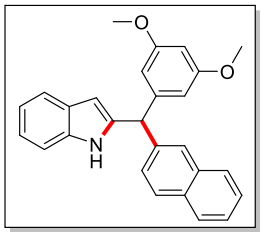


2-([1,1'-Biphenyl]-4-yl(naphthalen-2-yl)methyl)-*1H*-indole (2v). The reaction was performed following General Procedures A with *N*-(2-(3-([1,1'-biphenyl]-4-yl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1v**) (47.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (32.9 mg, 80% yield) as white solid. mp = 94–96 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.83 – 7.74 (m, 3H), 7.73 – 7.69 (m, 1H), 7.62 (s, 1H), 7.57 – 7.50 (m, 5H), 7.46 – 7.37 (m, 5H), 7.33 – 7.28 (m, 3H), 7.19 (d, J = 8.1 Hz, 1H), 7.14 – 7.10 (m, 1H), 7.09 – 7.05 (m, 1H), 6.17 (s, 1H), 5.73 (s, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 141.1, 140.7, 140.6, 140.0, 139.7, 136.4, 133.6, 132.6, 129.6, 128.9, 128.48, 128.46, 128.0, 127.8, 127.52, 127.46, 127.2, 126.4, 126.1, 121.8, 120.4, 119.9, 110.8, 103.1, 50.9, two resonances were not observed due to coincidental overlap; IR (thin film): 3391, 3053, 3025, 2925, 1648, 1600, 1507, 1487, 1290, 1008, 816, 744 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{31}H_{24}N^+$ 410.1903; found 410.1902.

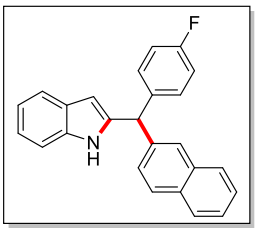


2-((4-Methoxyphenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2w). The reaction was performed following General Procedures A with *N*-(2-(3-(4-methoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1w**) (42.8 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The

crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 20:1) to give the product (33.8 mg, 93% yield) as white solid. mp = 80–82 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 – 7.72 (m, 3H), 7.71 – 7.67 (m, 1H), 7.56 (s, 1H), 7.49 (d, J = 7.7 Hz, 1H), 7.45 – 7.40 (m, 2H), 7.34 (dd, J = 8.5, 1.8 Hz, 1H), 7.19 – 7.08 (m, 4H), 7.07 – 7.03 (m, 1H), 6.85 – 6.81 (m, 2H), 6.11 (s, 1H), 5.65 (s, 1H), 3.75 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 158.7, 141.1, 140.1, 136.4, 134.2, 133.6, 132.5, 130.2, 128.5, 128.4, 128.0, 127.7, 127.5, 127.4, 126.3, 126.0, 121.7, 120.4, 119.9, 114.1, 110.8, 102.9, 55.4, 50.4; IR (thin film): 3403, 3055, 2954, 2906, 2835, 1608, 1509, 1456, 1336, 1248, 817, 746, 738 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{26}H_{22}NO^+$ 364.1696; found 364.1702.

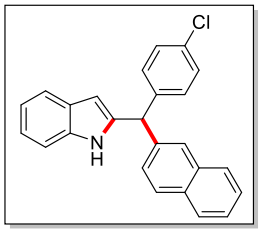


2-((3,5-Dimethoxyphenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2x). The reaction was performed following General Procedures A with *N*-(2-(3-(3,5-dimethoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1x**) (45.8 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 10:1) to give the product (37.4 mg, 95% yield) as white solid. mp = 78–80 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (s, 1H), 7.77 – 7.70 (m, 2H), 7.68 – 7.63 (m, 1H), 7.57 (s, 1H), 7.48 (d, J = 7.6 Hz, 1H), 7.41 – 7.36 (m, 2H), 7.33 (dd, J = 8.5, 1.7 Hz, 1H), 7.12 – 7.01 (m, 3H), 6.41 (d, J = 2.2 Hz, 2H), 6.36 (t, J = 2.2 Hz, 1H), 6.15 (s, 1H), 5.58 (s, 1H), 3.63 (s, 6H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 160.9, 144.3, 140.2, 139.4, 136.2, 133.4, 132.4, 128.3, 128.2, 127.9, 127.5, 127.3, 127.2, 126.1, 125.9, 121.5, 120.2, 119.7, 110.7, 107.5, 102.8, 98.6, 55.2, 51.2; IR (thin film): 3397, 3053, 2959, 2936, 2837, 1644, 1595, 1456, 1427, 1292, 1203, 820, 746 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{27}H_{24}NO_2^+$ 394.1802; found 394.1803.

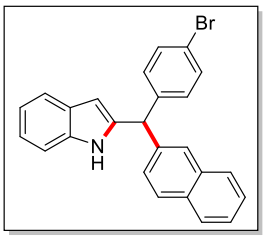


2-((4-Fluorophenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2y). The reaction was performed following General Procedures A with *N*-(2-(3-(4-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1y**) (41.6 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (30.5 mg, 87% yield) as white solid. mp = 83–84 °C. ^{1}H NMR (500 MHz, $CDCl_3$):

δ 7.81 – 7.73 (m, 2H), 7.70 – 7.62 (m, 2H), 7.53 (s, 1H), 7.49 (d, J = 7.7 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.30 (dd, J = 8.5, 1.8 Hz, 1H), 7.18 – 7.12 (m, 3H), 7.12 – 7.08 (m, 1H), 7.07 – 7.03 (m, 1H), 6.99 – 6.93 (m, 2H), 6.08 (s, 1H), 5.63 (s, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 161.8 (d, $J^1_{C(Ar)-F}$ = 245.8 Hz), 140.4, 139.4, 137.6 (d, $J^4_{C(Ar)-F}$ = 3.2 Hz), 136.2, 133.4, 132.4, 130.5 (d, $J^3_{C(Ar)-F}$ = 7.9 Hz), 128.4, 128.2, 127.8, 127.6, 127.3, 127.2, 126.3, 126.0, 121.7, 120.3, 119.9, 115.4 (d, $J^2_{C(Ar)-F}$ = 21.3 Hz), 110.6, 103.0, 50.3; IR (thin film): 3400, 3055, 1621, 1600, 1507, 1467, 1290, 1224, 842, 819 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{19}FN^+$ 352.1496; found 352.1497.

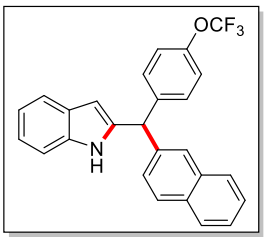


2-((4-Chlorophenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2z). The reaction was performed following General Procedures A with *N*-(2-(3-(4-chlorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1z**) (43.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (31.4 mg, 85% yield) as white solid. mp = 90–92 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 7.82 – 7.76 (m, 2H), 7.74 – 7.67(m, 2H), 7.54 (s, 1H), 7.50 (d, J = 7.8 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.31 (dd, J = 8.5, 1.8 Hz, 1H), 7.29 – 7.25 (m, 2H), 7.20 – 7.09 (m, 4H), 7.08 – 7.04 (m, 1H), 6.12 – 6.07 (m, 1H), 5.66 (s, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$): δ 140.5, 140.1, 139.2, 136.4, 133.5, 133.0, 132.6, 130.5, 128.9, 128.6, 128.4, 128.0, 127.8, 127.5, 127.3, 126.5, 126.2, 121.9, 120.5, 120.0, 110.8, 103.2, 50.5; IR (thin film): 3404, 3055, 1622, 1587, 1488, 1456, 1264, 1015, 819, 742 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{19}ClN^+$ 368.1201; found 368.1196.

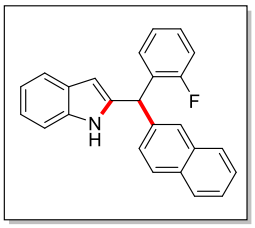


2-((4-Bromophenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2aa). The reaction was performed following General Procedures A with *N*-(2-(3-(4-bromophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamid e (**1aa**) (47.6 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry DME (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (20.8 mg, 50% yield) as yellow solid. mp = 85–87 °C. ^1H NMR (500 MHz, $CDCl_3$): δ 7.88 – 7.79 (m, 3H), 7.78 – 7.73 (m, 1H), 7.60 (s, 1H), 7.55 (d, J = 7.8 Hz, 1H), 7.52 – 7.46 (m, 4H), 7.36 (dd, J = 8.5, 1.8 Hz, 1H), 7.27 – 7.25 (m, 1H), 7.19 – 7.14 (m, 3H), 7.13

– 7.09 (m, 1H), 6.18 – 6.12 (m, 1H), 5.72 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 141.0, 140.0, 139.0, 136.3, 133.5, 132.6, 131.8, 130.9, 128.5, 128.3, 127.9, 127.7, 127.4, 127.2, 126.4, 126.2, 121.9, 121.1, 120.4, 120.0, 110.7, 103.2, 50.6; IR (thin film): 3405, 3055, 2926, 1621, 1600, 1508, 1484, 1072, 796, 747 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{19}BrN^+$ 412.0695; found 412.0683.

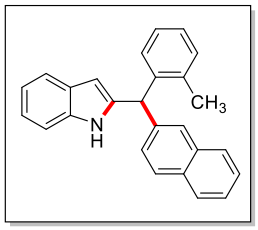


2-(Naphthalen-2-yl(4-(trifluoromethoxy)phenyl)methyl)-*1H*-indole (2ab). The reaction was performed following General Procedures A with *N*-(2-(3-(4-(trifluoromethoxy)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ab**) (48.1 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (35.5 mg, 85% yield) as yellow solid. mp = 75–77 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 – 7.77 (m, 2H), 7.76 – 7.68 (m, 2H), 7.56 (s, 1H), 7.51 (d, J = 7.8 Hz, 1H), 7.47 – 7.42 (m, 2H), 7.32 (dd, J = 8.5, 1.8 Hz, 1H), 7.27 – 7.23 (m, 2H), 7.21 – 7.18 (m, 1H), 7.17 – 7.10 (m, 3H), 7.09 – 7.05 (m, 1H), 6.13 – 6.08 (m, 1H), 5.70 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 148.2, 140.6, 140.0, 139.0, 136.3, 133.4, 132.5, 130.4, 128.5, 128.3, 127.9, 127.7, 127.4, 127.2, 126.4, 126.2, 121.9, 121.1, 120.5 (q, $J_{C\text{-}F}$ = 257.1 Hz), 120.4, 120.0, 110.7, 103.2, 50.4; IR (thin film): 3403, 3056, 2903, 1621, 1600, 1504, 1469, 1251, 1164, 818, 756 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{19}F_3NO^+$ 418.1413; found 418.1418.

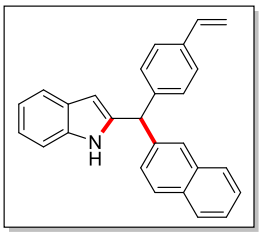


2-((2-Fluorophenyl)(naphthalen-2-yl)methyl)-*1H*-indole (2ac). The reaction was performed following General Procedures A with *N*-(2-(3-(2-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ac**) (41.5 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (29.5 mg, 84% yield) as yellow solid. mp = 78–80 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 – 7.73 (m, 3H), 7.71 – 7.66 (m, 1H), 7.58 (s, 1H), 7.50 (d, J = 7.8 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.35 (dd, J = 8.5, 1.8 Hz, 1H), 7.27 – 7.21 (m, 1H), 7.19 – 7.16 (m, 1H), 7.13 – 7.02 (m, 5H), 6.16 – 6.10 (m, 1H), 6.02 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 160.7 (d, $J^{1}_{C(Ar)\text{-}F}$ = 247.1 Hz), 139.4, 138.5, 136.4, 133.5, 132.6, 130.6 (d, $J^{4}_{C(Ar)\text{-}F}$ = 3.6 Hz), 129.4, 129.3, 129.0 (d, $J^{3}_{C(Ar)\text{-}F}$ = 8.2 Hz), 128.5,

128.4, 128.0, 127.7, 127.3 (d, $J^3_{C(Ar)-F}$ = 8.6 Hz), 126.2 (d, $J^2_{C(Ar)-F}$ = 31.4 Hz), 124.41, 124.38, 121.8, 120.4, 120.0, 115.7 (d, $J^2_{C(Ar)-F}$ = 22.0 Hz), 110.8, 103.2, 43.8 (d, J^3_{C-F} = 3.5 Hz); IR (thin film): 3399, 3054, 2926, 1665, 1614, 1545, 1405, 1290, 900, 851 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{19}FN^+$ 352.1496; found 352.1496.



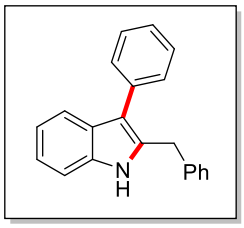
2-(Naphthalen-2-yl(o-tolyl)methyl)-*1H*-indole (2ad). The reaction was performed following General Procedures A with *N*-(2-(3-(o-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ad**) (41.2 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (26.6 mg, 77% yield) as white solid. mp = 70–71 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 – 7.75 (m, 2H), 7.72 (s, 1H), 7.69 – 7.65 (m, 1H), 7.51 – 7.47 (m, 2H), 7.45 – 7.39 (m, 2H), 7.32 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.21 – 7.16 (m, 3H), 7.12 – 7.08 (m, 2H), 7.07 – 7.03 (m, 1H), 6.96 (d, *J* = 7.5 Hz, 1H), 6.06 (s, 1H), 5.86 (s, 1H), 2.28 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 140.3, 140.2, 139.1, 136.6, 136.2, 133.4, 132.4, 130.6, 129.0, 128.4, 128.2, 127.9, 127.7, 127.6, 127.5, 127.1, 126.2, 126.1, 125.9, 121.5, 120.2, 119.7, 110.6, 103.1, 47.6, 19.7; IR (thin film): 3406, 3051, 3020, 2922, 2852, 1623, 1540, 1488, 1456, 1289, 819, 740 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{22}N^+$ 348.1747; found 348.1741.



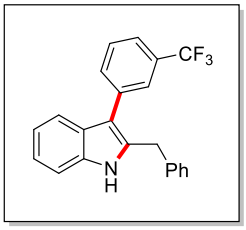
2-(Naphthalen-2-yl(4-vinylphenyl)methyl)-*1H*-indole (2ae). The reaction was performed following General Procedures A with *N*-(2-(3-(4-vinylphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ae**) (42.4 mg, 0.1 mmol), 18-crown-6 (158.4 mg, 0.6 mmol) and KHMDS (1.0 mol/L in THF, 0.3 mL, 0.3 mmol) dissolved in dry THF (1 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.8 mg, 72% yield) as white solid. mp = 87–89 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.81 – 7.74 (m, 2H), 7.74 – 7.65 (m, 2H), 7.57 (s, 1H), 7.49 (d, *J* = 7.7 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.36 – 7.31 (m, 3H), 7.20 – 7.15 (m, 3H), 7.12 – 7.08 (m, 1H), 7.08 – 7.03 (m, 1H), 6.68 (dd, *J* = 17.6, 10.9 Hz, 1H), 6.14 – 6.09 (m, 1H), 5.71 (dd, *J* = 17.6, 0.7 Hz, 1H), 5.67 (s, 1H), 5.22 (dd, *J* = 10.9, 0.6 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 141.6, 140.6, 139.6, 136.53, 136.47, 136.4, 133.6, 132.6,

129.4, 128.5, 128.4, 128.0, 127.8, 127.50, 127.48, 126.6, 126.4, 126.1, 121.8, 120.4, 119.9, 114.1, 110.8, 103.1, 50.9. IR (thin film): 3396, 3050, 2921, 1698, 1617, 1508, 1457, 1416, 1265, 818, 747 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{22}N^+$ 360.1747; found 360.1749.

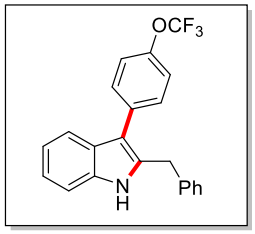
Characterization Data for2,3-disubstituted indoles



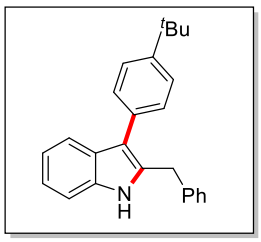
2-Benzyl-3-phenyl-*1H*-indole (3a). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1a**) (34.7 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (22.5 mg, 80% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.71 – 7.65 (m, 1H), 7.61 (s, 1H), 7.55 – 7.49 (m, 2H), 7.44 – 7.38 (m, 2H), 7.32 – 7.22 (m, 3H), 7.22 – 7.16 (m, 1H), 7.15 – 7.06 (m, 5H), 4.14 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 138.8, 135.6, 135.2, 133.6, 129.6, 128.9, 128.8, 128.7, 127.8, 126.8, 126.2, 122.0, 120.1, 119.2, 115.7, 110.7, 32.7; IR (thin film): 3406, 3058, 3026, 2922, 1618, 1601, 1558, 1494, 1332, 1264, 743 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{18}N^+$ 284.1434; found 284.1439. The data matches the previously published data[6].



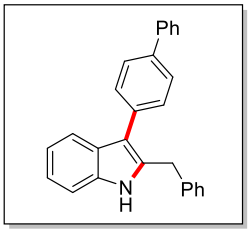
2-Benzyl-3-(3-(trifluoromethyl)phenyl)-*1H*-indole (3b). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-3-(trifluoromethyl) benzenesulfonamide (**1b**) (41.5 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (12.9 mg, 37% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.90 (s, 1H), 7.83 (s, 1H), 7.75 – 7.70 (m, 1H), 7.66 (d, J = 7.8 Hz, 1H), 7.61 – 7.56 (m, 2H), 7.37 – 7.26 (m, 4H), 7.23 – 7.15 (m, 4H), 4.24 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 138.4, 136.1, 135.6, 134.3, 132.8, 131.1 (q, $J^2_{C(Ar)\text{-}F}$ = 32.0 Hz), 129.2, 129.1, 128.9, 127.1, 126.3 (q, $J^3_{C(Ar)\text{-}F}$ = 3.7 Hz), 124.5 (q, $J^1_{C\text{-}F}$ = 272.3 Hz), 123.0 (q, $J^3_{C(Ar)\text{-}F}$ = 3.7 Hz), 122.4, 120.6, 118.9, 114.4, 110.9, 32.8, one resonance was not observed due to coincidental overlap; IR (thin film): 3402, 3030, 2922, 1630, 1493, 1455, 1329, 1165, 795, 702 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}F_3N^+$ 352.1308; found 352.1304.



2-Benzyl-3-(4-(trifluoromethoxy)phenyl)-1*H*-indole (3c). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-4-(trifluoromethoxy)benzenesulfonamide (**1c**). (43.1 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (23.1 mg, 63% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.86 (s, 1H), 7.68 (d, J = 7.9 Hz, 1H), 7.59 – 7.55 (m, 2H), 7.39 – 7.26 (m, 6H), 7.24 – 7.19 (m, 3H), 7.19 – 7.14 (m, 1H), 4.24 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 147.6 (d, $J^{3}C_{(Ar)\text{-}F}$) = 1.9 Hz), 138.5, 135.5, 133.9, 133.8, 130.7, 129.0, 128.7, 127.6, 126.9, 122.2, 121.2, 120.6 (q, J = 256.8 Hz), 120.3, 118.9, 114.4, 110.8, 32.6; IR (thin film): 3409, 3025, 2924, 1608, 1562, 1487, 1439, 1263, 1180, 842, 769 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}F_3NO^+$ 368.1257; found 368.1257.

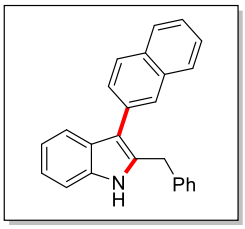


2-Benzyl-3-(4-(*tert*-butyl)phenyl)-1*H*-indole (3D). The reaction was performed following General Procedures B with 4-(*tert*-butyl)-N-(2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1D**) (40.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.4 mg, 75% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.83 – 7.74 (m, 2H), 7.57 – 7.51 (m, 4H), 7.38 – 7.33 (m, 2H), 7.32 – 7.26 (m, 2H), 7.26 – 7.23 (m, 2H), 7.22 – 7.18 (m, 1H), 7.18 – 7.14 (m, 1H), 4.28 (s, 2H), 1.44 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 148.9, 139.0, 135.6, 133.4, 132.1, 129.2, 128.9, 128.8, 127.9, 126.8, 125.6, 121.9, 119.9, 119.4, 115.5, 110.6, 34.6, 32.7, 31.5; IR (thin film): 3404, 3058, 3027, 2962, 2903, 1618, 1602, 1564, 1458, 1331, 836, 710 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{26}N^+$ 340.2060; found 340.2061.

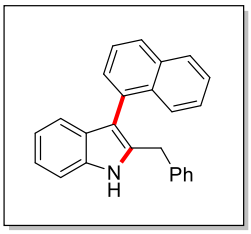


3-([1,1'-Biphenyl]-4-yl)-2-benzyl-1*H*-indole (3e). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)-[1,1'-biphenyl]-4-sulfonamide (**1e**) (42.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and

KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (31.3 mg, 87% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 (d, J = 7.6 Hz, 2H), 7.78 – 7.68 (m, 6H), 7.55 – 7.50 (m, 2H), 7.43 – 7.36 (m, 3H), 7.34 – 7.30(m, 2H), 7.29 – 7.26 (m, 2H), 7.26 – 7.19 (m, 2H), 4.32 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 141.1, 139.0, 138.9, 135.7, 134.3, 133.8, 129.9, 129.0, 128.93, 128.88, 127.8, 127.4, 127.3, 127.1, 126.9, 122.1, 120.2, 119.3, 115.3, 110.8, 32.8; IR (thin film): 3410, 3026, 2924, 2854, 1608, 1562, 1488, 1438, 1266, 842, 770 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{27}H_{22}N^+$ 360.1747; found 360.1756.

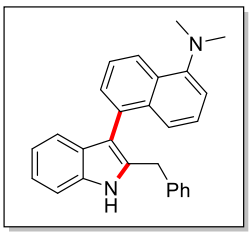


2-Benzyl-3-(naphthalen-2-yl)-1*H*-indole (3f). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1f**) (39.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (23.6 mg, 71% yield) as colorless oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96 (d, J = 0.7 Hz, 1H), 7.91 (d, J = 8.5 Hz, 1H), 7.87 – 7.81 (m, 2H), 7.78 (s, 1H), 7.75 – 7.72 (m, 1H), 7.70 (dd, J = 8.4, 1.7 Hz, 1H), 7.49 – 7.43 (m, 2H), 7.32 – 7.27 (m, 2H), 7.26 – 7.21 (m, 2H), 7.20 – 7.11 (m, 4H), 4.25 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 138.7, 135.6, 133.9, 133.8, 132.7, 132.0, 128.8, 128.7, 128.2, 128.0, 127.9, 127.78, 127.76, 127.7, 126.7, 126.0, 125.5, 122.0, 120.1, 119.2, 115.5, 110.7, 32.7; IR (thin film): 3410, 3055, 2955, 2925, 2869, 1628, 1601, 1493, 1468, 820, 710 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{25}H_{20}N^+$ 334.1590; found 334.1594.

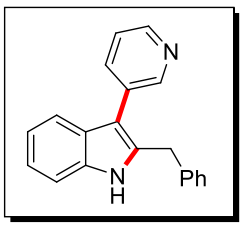


2-Benzyl-3-(naphthalen-1-yl)-1*H*-indole (3g). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (**1g**) (39.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (23.5 mg, 71% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.93 – 7.79 (m, 4H), 7.54 – 7.50 (m, 2H), 7.48 – 7.43 (m, 1H), 7.37 – 7.32 (m, 1H), 7.25 – 7.08 (m, 8H), 7.02 – 6.98

(m, 1H), 4.02 – 3.94 (m, 2H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 138.8, 135.6, 135.1, 134.0, 133.3, 132.7, 129.2, 128.9, 128.82, 128.80, 128.3, 127.6, 126.9, 126.7, 125.82, 125.81, 125.7, 121.9, 119.93, 119.87, 113.9, 110.6, 32.9; IR (thin film): 3410, 3055, 2924, 1591, 1493, 1438, 1336, 1315, 801, 779, 713 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{20}N^+$ 334.1590; found 334.1589.

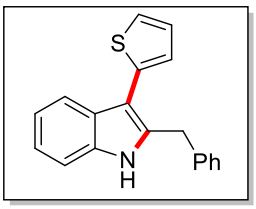


5-(2-Benzyl-1*H*-indol-3-yl)-*N*,*N*-dimethylnaphthalen-1-amine (3h). The reaction was performed following General Procedures B with 5-(dimethylamino)-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)naphthalene-1-sulfonamide (**1h**) (44.1 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (30.1 mg, 80% yield) as yellow solid. mp = 83–85 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.40 (dd, J = 7.9, 1.1 Hz, 1H), 7.97 (s, 1H), 7.64 – 7.59 (m, 3H), 7.37 – 7.29 (m, 5H), 7.28 – 7.24 (m, 1H), 7.23 – 7.15 (m, 4H), 7.10 – 7.07 (m, 1H), 4.07 (s, 2H), 3.02 (s, 6H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 151.1, 138.9, 135.5, 135.1, 134.8, 133.0, 129.5, 129.3, 128.9, 128.83, 128.81, 126.7, 125.6, 125.0, 123.7, 122.0, 121.8, 120.0, 119.9, 114.4, 114.0, 110.6, 45.6, 33.0; IR (thin film): 3409, 3057, 3028, 2940, 1617, 1583, 1506, 1443, 1330, 1265, 935, 791 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{25}N_2^+$ 377.2012; found 377.2022**.**

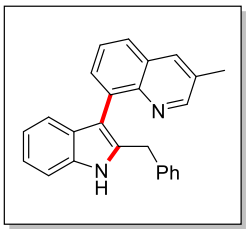


2-Benzyl-3-(pyridin-3-yl)-1*H*-indole (3i). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)pyridine-3-sulfonamide (**1i**) (34.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.1 mg, 78% yield) as white solid. mp = 181–183 °C. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.36 (s, 1H), 8.62 (d, J = 1.6 Hz, 1H), 8.46 (dd, J = 4.7, 1.3 Hz, 1H), 7.85 – 7.77 (m, 1H), 7.49 (d, J = 7.9 Hz, 1H), 7.44 (m, 1H), 7.37 (d, J = 8.0 Hz, 1H), 7.28 – 7.22 (m, 2H), 7.19 – 7.07 (m, 4H), 7.04 – 6.99 (m, 1H), 4.16 (s, 2H). $^{13}C\{^{1}H\}$ NMR (125 MHz, DMSO–d_6): δ 148.9, 146.3, 138.9, 135.5, 135.3, 134.7, 130.6, 128.0, 127.6, 126.3, 125.8, 123.3, 120.9, 119.1, 117.3, 110.8, 109.5, 31.3; IR (thin film): 3400, 3083, 3027, 2923, 1622, 1594, 1492, 1408, 1259, 742, 713 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$

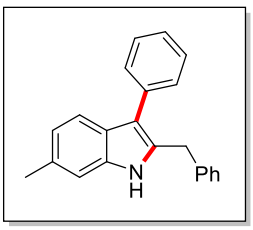
calcd for $C_{20}H_{17}N_2^+$ 285.1386; found 285.1387.



2-Benzyl-3-(thiophen-2-yl)-*1H*-indole (3j). The reaction was performed following General Procedures B with *N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)thiophene-2-sulfonamide (**1j**) (35.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (13.6 mg, 47% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.92 – 7.78 (m, 2H), 7.39 – 7.32 (m, 3H), 7.32 – 7.23 (m, 4H), 7.22 – 7.17 (m, 4H), 4.35 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 138.4, 136.8, 135.4, 134.8, 129.03, 128.96, 127.8, 127.5, 127.0, 125.1, 124.0, 122.3, 120.5, 119.5, 110.8, 108.7, 33.1; IR (thin film): 3403, 3057, 2954, 1600, 1583, 1493, 1414, 1291, 1230, 788, 747 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{16}NS^+$ 290.0998; found 290.1003.

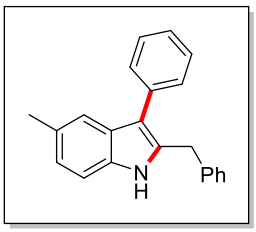


8-(2-Benzyl-*1H*-indol-3-yl)-3-methylquinoline (3k). The reaction was performed following General Procedures B with 3-methyl-*N*-(2-(3-phenylprop-1-yn-1-yl)phenyl)quinoline-8-sulfonamide (**1k**) (41.3 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (21.4 mg, 61% yield) as yellow solid. mp = 211–213 °C. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.10 (s, 1H), 8.65 (d, J = 2.2 Hz, 1H), 8.14 (d, J = 1.0 Hz, 1H), 7.85 (dd, J = 7.9, 1.7 Hz, 1H), 7.66 – 7.59 (m, 2H), 7.34 (d, J = 8.1 Hz, 1H), 7.16 (dd, J = 10.1, 4.5 Hz, 2H), 7.10 – 7.01 (m, 5H), 6.90 – 6.85 (m, 1H), 3.99 (s, 2H), 2.46 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, DMSO–d_6): δ 151.5, 151.3, 144.8, 139.7, 135.9, 135.6, 134.8, 134.3, 130.5, 130.3, 128.8, 128.4, 128.3, 128.2, 126.4, 125.9, 120.5, 118.9, 118.7, 111.7, 110.8, 32.8, 18.1; IR (thin film): 3392, 3055, 2919, 1598, 1492, 1456, 1313, 1265, 885, 768 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{21}N_2^+$ 349.1699; found 349.1702.

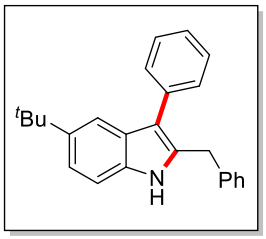


2-Benzyl-6-methyl-3-phenyl-*1H*-indole (3l). The reaction was performed following General Procedures B with *N*-(5-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl) benzenesulfonamide (**1l**) (36.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and

KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (22.8 mg, 77% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.69 (s, 1H), 7.64 (d, J = 8.1 Hz, 1H), 7.61 – 7.58 (m, 2H), 7.52 – 7.48 (m, 2H), 7.39 – 7.32 (m, 3H), 7.32 – 7.27 (m, 1H), 7.26 – 7.20 (m, 2H), 7.10 – 7.06 (m, 1H), 7.01 (dd, J = 8.1, 0.9 Hz, 1H), 4.25 (s, 2H), 2.49 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 139.1, 136.1, 135.4, 132.9, 131.9, 129.6, 128.9, 128.8, 128.7, 126.8, 126.2, 125.7, 121.8, 119.0, 115.6, 110.8, 32.7, 21.8; IR (thin film): 3404, 3059, 3027, 2921, 1627, 1602, 1494, 1419, 1267, 1186, 805, 750 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}N^+$ 298.1590; found 298.1594.

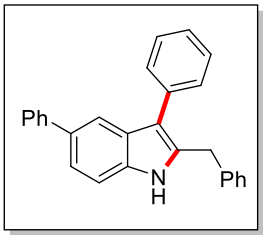


2-Benzyl-5-methyl-3-phenyl-*1H*-indole (3m). The reaction was performed following General Procedures B with *N*-(4-methyl-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1m**) (36.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (26.2 mg, 88% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.73 (s, 1H), 7.64 – 7.58 (m, 2H), 7.56 – 7.49 (m, 3H), 7.41 – 7.33 (m, 3H), 7.32 – 7.28 (m, 1H), 7.24 (d, J = 7.0 Hz, 2H), 7.19 (d, J = 8.2 Hz, 1H), 7.05 (dd, J = 8.2, 1.5 Hz, 1H), 4.26 (s, 2H), 2.49 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 139.0, 135.4, 134.0, 133.8, 129.7, 129.4, 128.9, 128.8, 128.7, 128.1, 126.8, 126.2, 123.5, 118.9, 115.4, 110.4, 32.7, 21.6; IR (thin film): 3404, 3026, 2922, 1602, 1494, 1481, 1454, 1317, 1299, 770, 721 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}N^+$ 298.1590; found 298.1588. The data matches the previously published data[7].

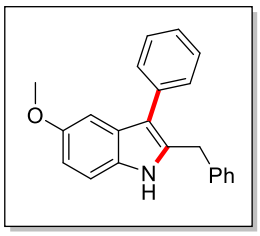


2-Benzyl-5-(*tert*-butyl)-3-phenyl-*1H*-indole (3n). The reaction was performed following General Procedures B with *N*-(4-(*tert*-butyl)-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1n**) (40.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h.. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (23.6 mg, 69% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.75 (d, J

= 1.8 Hz, 2H), 7.65 – 7.60 (m, 2H), 7.56 – 7.51 (m, 2H), 7.41 – 7.26 (m, 5H), 7.26 – 7.22 (m, 3H), 4.26 (s, 2H), 1.43 (s, 9H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 143.2, 139.1, 135.5, 133.9, 133.8, 129.7, 128.91, 128.88, 128.8, 127.6, 126.8, 126.2, 120.3, 115.9, 115.0, 110.2, 34.8, 32.8, 32.1; IR (thin film): 3411, 3058, 3026, 2959, 2863, 1602, 1495, 1479, 1454, 1296, 1261, 804, 752 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{26}N^+$ 340.2060; found 340.2058.

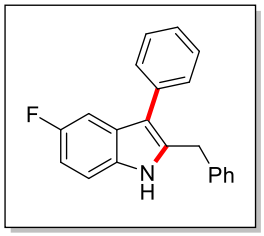


2-Benzyl-3,5-diphenyl-*1H*-indole (3o). The reaction was performed following General Procedures B with *N*-(3-(3-phenylprop-1-yn-1-yl)-[1,1'-biphenyl]-4-yl)benzenesulfonamide (**1o**) (42.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (30.6 mg, 85% yield) as white solid. mp = 136–138 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (d, J = 1.4 Hz, 1H), 7.83 (s, 1H), 7.70 – 7.64 (m, 4H), 7.57 – 7.52 (m, 2H), 7.50 – 7.45 (m, 3H), 7.43 – 7.30 (m, 6H), 7.28 – 7.24 (m, 2H), 4.29 (s, 2H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 142.7, 138.8, 135.2, 135.1, 134.4, 133.9, 129.7, 129.0, 128.9, 128.8, 128.7, 128.4, 127.6, 126.9, 126.44, 126.40, 121.9, 117.9, 116.1, 111.0, 32.8; IR (thin film): 3419, 3024, 2925, 2854, 1670, 1601, 1495, 1457, 1379, 1262, 809, 760 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{22}N^+$ 360.1747; found 360.1752.

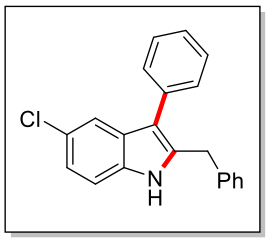


2-Benzyl-5-methoxy-3-phenyl-*1H*-indole (3p). The reaction was performed following General Procedures B with *N*-(4-methoxy-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1p**) (37.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry toluene (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (20.5 mg, 66% yield) as white solid. mp = 133–135 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (s, 1H), 7.60 – 7.57 (m, 2H), 7.53 – 7.49 (m, 2H), 7.39 – 7.31 (m, 3H), 7.30 – 7.26 (m, 1H), 7.24 – 7.15 (m, 4H), 6.86 (dd, J = 8.7, 2.5 Hz, 1H), 4.23 (s, 2H), 3.84 (s, 3H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ 154.6, 138.9, 135.4, 134.6, 130.7, 129.6, 128.94, 128.85, 128.8, 128.2, 126.8, 126.2, 115.6, 112.0, 111.5, 101.3, 56.1, 32.8; IR (thin film): 3409, 3055, 2935, 1629, 1601, 1585, 1454, 1296,

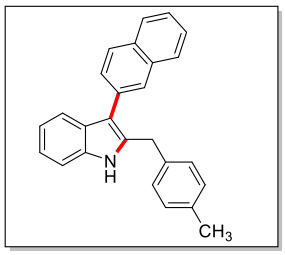
1148, 799, 702 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{20}NO^+$ 314.1539; found 314.1545.



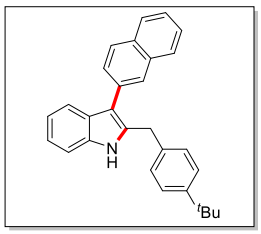
2-Benzyl-5-fluoro-3-phenyl-*1H*-indole (3q). The reaction was performed following General Procedures B with *N*-(4-fluoro-2-(3-phenylprop-1-yn-1-yl)phenyl) benzenesulfonamide (**1q**) (36.5 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (24.9 mg, 83% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (s, 1H), 7.59 – 7.53 (m, 2H), 7.52 – 7.48 (m, 2H), 7.41 – 7.33 (m, 4H), 7.33 – 7.27 (m, 1H), 7.25 – 7.20 (m, 2H), 7.17 (dd, J = 8.8, 4.3 Hz, 1H), 6.93 (td, J = 9.0, 2.5 Hz, 1H), 4.25 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 158.4 (d, $J^1_{C(Ar)-F}$ = 234.5 Hz), 138.6, 135.6, 134.7, 132.1, 129.5, 129.0, 128.88, 128.86, 128.3 (d, $J^3_{C(Ar)-F}$ = 9.8 Hz), 127.0, 126.5, 115.9 (d, $J^4_{C(Ar)-F}$ = 4.5 Hz), 111.3 (d, $J^3_{C(Ar)-F}$ = 9.6 Hz), 110.2 (d, $J^2_{C(Ar)-F}$ = 26.2 Hz), 104.3 (d, $J^2_{C(Ar)-F}$ = 24.0 Hz), 32.9; IR (thin film): 3413, 3061, 3027, 2924, 1628, 1583, 1494, 1453, 1295, 1136, 800, 718 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}FN^+$ 302.1340; found 302.1341. The data matches the previously published data[7].



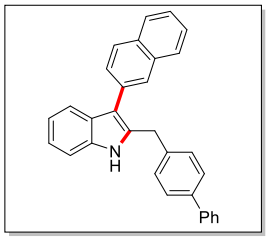
2-Benzyl-5-chloro-3-phenyl-*1H*-indole (3r). The reaction was performed following General Procedures B with *N*-(4-chloro-2-(3-phenylprop-1-yn-1-yl)phenyl)benzenesulfonamide (**1r**) (38.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (19.7 mg, 62% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.83 (s, 1H), 7.66 (d, J = 2.0 Hz, 1H), 7.56 – 7.52 (m, 2H), 7.51 – 7.47 (m, 2H), 7.39 – 7.32(m, 3H), 7.31 – 7.26 (m, 1H), 7.23 – 7.19 (m, 2H), 7.18 (dd, J = 8.5, 0.4 Hz, 1H), 7.13 (dd, J = 8.6, 2.0 Hz, 1H), 4.24 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 138.5, 135.2, 134.5, 133.9, 129.6, 129.1, 129.0, 128.9, 127.0, 126.6, 125.9, 122.2, 118.8, 115.5, 111.7, 32.8, one resonance was not observed due to coincidental overlap; IR (thin film): 3412, 3027, 2923, 1602, 1494, 1467, 1427, 1318, 1292, 1065, 797, 768 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}ClN^+$ 318.1044; found 318.1039. The data matches the previously published data[7].



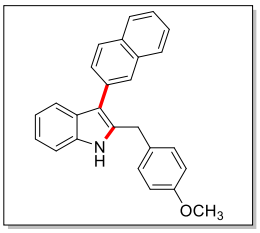
2-(4-Methylbenzyl)-3-(naphthalen-2-yl)-*1H*-indole (3t). The reaction was performed following General Procedures B with *N*-(2-(3-(*p*-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1t**) (41.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.6 mg, 74% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.03 (d, J = 0.7 Hz, 1H), 7.98 (d, J = 8.5 Hz, 1H), 7.94 – 7.89 (m, 2H), 7.86 (s, 1H), 7.82 – 7.79 (m, 1H), 7.78 (dd, J = 8.4, 1.7 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.33 – 7.29 (m, 1H), 7.25 – 7.22 (m, 1H), 7.21 – 7.14 (m, 5H), 4.29 (s, 2H), 2.39 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 136.5, 135.70, 135.69, 134.4, 134.0, 132.9, 132.2, 129.7, 128.8, 128.4, 128.2, 128.0, 127.94, 127.90, 127.8, 126.2, 125.6, 122.1, 120.2, 119.3, 115.5, 110.8, 32.5, 21.2; IR (thin film): 3406, 3050, 2922, 2852, 1627, 1602, 1513, 1463, 327, 1265, 821 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{22}N^+$ 348.1747; found 348.1751.



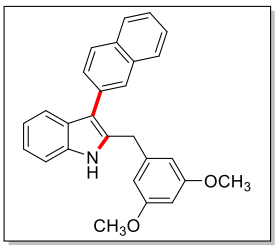
2-(4-(*Tert*-butyl)benzyl)-3-(naphthalen-2-yl)-*1H*-indole (3u). The reaction was performed following General Procedures B with *N*-(2-(3-(4-(*tert*-butyl)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfona mide (**1u**) (45.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (33.8 mg, 87% yield) as yellow solid. mp = 90–92 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.05 (d, J = 0.7 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.96 – 7.90 (m, 2H), 7.88 (s, 1H), 7.84 – 7.78 (m, 2H), 7.58 – 7.51 (m, 2H), 7.42 – 7.38 (m, 2H), 7.33 – 7.28 (m, 1H), 7.26 – 7.18 (m, 4H), 4.31 (s, 2H), 1.38 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 149.8, 135.8, 135.7, 134.4, 134.0, 132.9, 132.2, 128.6, 128.4, 128.2, 128.1, 128.0, 127.9, 127.8, 126.2, 125.9, 125.6, 122.1, 120.2, 119.3, 115.5, 110.8, 34.6, 32.3, 31.5; IR (thin film): 3406, 3053, 2960, 2925, 1627, 1602, 1504, 1458, 1363, 1266, 820, 744 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{29}H_{28}N^+$ 390.2216; found 390.2218**.**



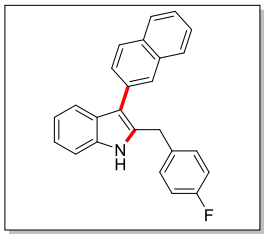
2-([1,1'-Biphenyl]-4-ylmethyl)-3-(naphthalen-2-yl)-*1H*-indole (3v). The reaction was performed following General Procedures B with *N*-(2-(3-([1,1'-biphenyl]-4-yl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1v**) (47.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry toluene (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (29.1 mg, 71% yield) as white solid. mp = 129–131 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.04 (d, J = 0.7 Hz, 1H), 7.98 (d, J = 8.5 Hz, 1H), 7.96 – 7.87 (m, 3H), 7.83 – 7.77 (m, 2H), 7.64 – 7.57 (m, 4H), 7.56 – 7.50 (m, 2H), 7.49 – 7.45 (m, 2H), 7.40 – 7.36 (m, 1H), 7.35 – 7.30 (m, 3H), 7.26 – 7.18 (m, 2H), 4.36 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 140.8, 139.9, 137.9, 135.8, 133.97, 133.95, 132.8, 132.2, 129.3, 129.0, 128.4, 128.2, 128.03, 127.96, 127.94, 127.86, 127.7, 127.5, 127.1, 126.2, 125.6, 122.2, 120.3, 119.3, 115.8, 110.9, 32.5; IR (thin film): 3410, 3053, 2920, 1602, 1487, 1457, 1376, 1327, 819, 743 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{31}H_{24}N^{+}$ 410.1903; found 410.1910.



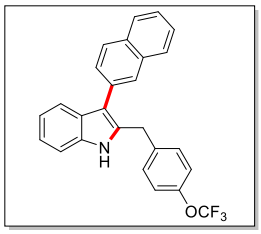
2-(4-Methoxybenzyl)-3-(naphthalen-2-yl)-*1H*-indole (3w). The reaction was performed following General Procedures B with *N*-(2-(3-(4-methoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1w**) (42.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (25.8 mg, 71% yield) as yellow solid. mp = 117–119 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.00 (d, J = 0.6 Hz, 1H), 7.96 (d, J = 8.5 Hz, 1H), 7.92 – 7.86 (m, 3H), 7.77 (d, J = 7.8 Hz, 1H), 7.75 (dd, J = 8.4, 1.7 Hz, 1H), 7.54 – 7.48 (m, 2H), 7.33 – 7.30 (m, 1H), 7.23 – 7.19 (m, 1H), 7.19 – 7.15 (m, 3H), 6.90 – 6.86 (m, 2H), 4.26 (s, 2H), 3.81 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 158.6, 135.7, 134.6, 133.9, 132.9, 132.2, 129.9, 128.4, 128.2, 128.1, 127.94, 127.90, 127.8, 126.2, 125.6, 122.1, 120.2, 119.3, 115.4, 114.4, 110.8, 55.4, 32.1, one resonance was not observed due to coincidental overlap; IR (thin film): 3403, 3055, 2924, 2852, 1627, 1602, 1509, 1457, 1301, 1245, 822, 743 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{26}H_{22}NO^{+}$ 364.1696; found 364.1706**.**



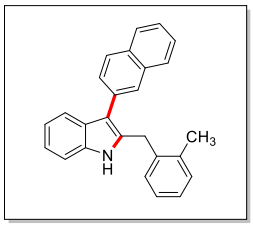
2-(3,5-Dimethoxybenzyl)-3-(naphthalen-2-yl)-*1H*-indole (3x). The reaction was performed following General Procedures B with *N*-(2-(3-(3,5-dimethoxyphenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1x**) (45.8 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 20:1) to give the product (31.4 mg, 80% yield) as yellow solid. mp = 99–101 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.02 (s, 1H), 8.01 – 7.89 (m, 4H), 7.81 – 7.76 (m, 2H), 7.56 – 7.50 (m, 2H), 7.33 (d, J = 7.9 Hz, 1H), 7.25 – 7.17 (m, 2H), 6.47 – 6.37 (m, 3H), 4.25 (s, 2H), 3.76 (s, 6H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 161.3, 141.1, 135.7, 133.9, 133.8, 132.8, 132.2, 128.4, 128.2, 128.0, 127.9, 127.8, 126.2, 125.6, 122.1, 120.2, 119.3, 115.5, 110.9, 107.1, 98.7, 55.4, 33.2, one resonance was not observed due to coincidental overlap; IR (thin film): 3397, 3051, 2955, 2926, 2852, 1626, 1598, 1428, 1347, 1204, 824, 741 cm^{-1}. HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{27}H_{24}NO_2^+$ 394.1802; found 394.1809.



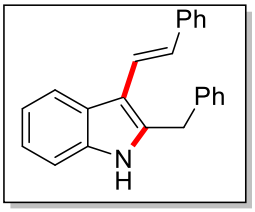
2-(4-Fluorobenzyl)-3-(naphthalen-2-yl)-*1H*-indole (3y). The reaction was performed following General Procedures B with *N*-(2-(3-(4-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1y**) (41.6 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (19.6 mg, 56% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.99 – 7.95 (m, 2H), 7.93 – 7.82 (m, 3H), 7.78 (d, J = 7.8 Hz, 1H), 7.73 (dd, J = 8.4, 1.7 Hz, 1H), 7.55 – 7.49 (m, 2H), 7.35 – 7.32 (m, 1H), 7.26 – 7.22 (m, 1H), 7.21 – 7.16 (m, 3H), 7.05 – 7.00 (m, 2H), 4.28 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 161.9 (d, $J^{1}_{C(Ar)-F}$ = 245.2 Hz), 135.7, 134.5 (d, $J^{4}_{C(Ar)-F}$ = 3.2 Hz), 133.9, 133.8, 132.7, 132.2, 130.3 (d, $J^{3}_{C(Ar)-F}$ = 8.0 Hz), 128.32, 128.26, 128.0, 127.93, 127.86, 126.3, 125.7, 122.3, 120.4, 119.4, 115.8 (d, $J^{2}_{C(Ar)-F}$ = 21.3 Hz), 115.8, 110.8, 32.1, one resonance was not observed due to coincidental overlap; IR (thin film): 3410, 3051, 2924, 1629, 1602, 1508, 1458, 1222, 1158, 821 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{25}H_{19}FN^+$ 352.1496; found 352.1492.



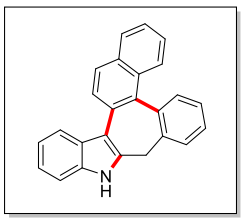
3-(Naphthalen-2-yl)-2-(4-(trifluoromethoxy)benzyl)-*1H*-indole (3ab). The reaction was performed following General Procedures B with *N*-(2-(3-(4-(trifluoromethoxy)phenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ab**) (48.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (24.1 mg, 58% yield) as yellow solid. mp = 67–69 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96 (d, J = 8.4 Hz, 2H), 7.94 – 7.89 (m, 1H), 7.89 – 7.83 (m, 2H), 7.77 (d, J = 7.9 Hz, 1H), 7.71 (dd, J = 8.4, 1.7 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.36 – 7.32 (m, 1H), 7.26 – 7.22 (m, 3H), 7.21 – 7.15 (m, 3H), 4.30 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 147.0 (d, $J^{3}_{C(Ar)-F}$ = 1.8 Hz), 136.5, 134.6, 132.7, 132.1, 131.4, 131.1, 128.9, 127.14, 127.10, 126.78, 126.75, 126.7, 125.1, 124.6, 121.2, 120.3, 119.4 (q, J = 257.0 Hz), 119.3, 118.3, 115.0, 109.7, 31.0, one resonance was not observed due to coincidental overlap; IR (thin film): 3405, 3054, 2956, 2925, 1630, 1603, 1508, 1457, 1260, 820, 745 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^{+}$ calcd for $C_{26}H_{19}F_3NO^{+}$ 418.1413; found 418.1418.



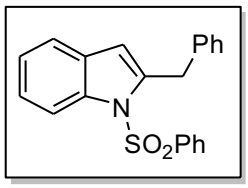
.**2-(2-Methylbenzyl)-3-(naphthalen-2-yl)-*1H*-indole (3ad).** The reaction was performed following General Procedures B with *N*-(2-(3-(*o*-tolyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ad**) (41.2 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (26.2 mg, 76% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96 (d, J = 0.7 Hz, 1H), 7.91 (d, J = 8.6 Hz, 1H), 7.87 – 7.81 (m, 2H), 7.77 – 7.73 (m, 1.0 Hz, 1H), 7.72 – 7.67 (m, 2H), 7.49 – 7.43 (m, 2H), 7.24 – 7.21 (m, 1H), 7.19 – 7.11 (m, 6H), 4.22 (s, 2H), 2.10 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 137.0, 136.8, 135.6, 134.0, 133.6, 132.9, 132.1, 130.7, 129.5, 128.3, 128.2, 128.0, 127.94, 127.85, 127.8, 127.3, 126.5, 126.2, 125.6, 122.0, 120.3, 119.2, 115.3, 110.8, 31.1, 19.5; IR (thin film): 3407, 3018, 2923, 2868, 1628, 1601, 1488, 1457, 1323, 859 cm^{-1}; HRMS (ESI) m/z: [M + H]$^{+}$ calcd for $C_{26}H_{22}N^{+}$ 348.1747; found 348.1755.



(E)-2-benzyl-3-styryl-1*H*-indole (3aE). The reaction was performed following General Procedures B with (*E*)-2-phenyl-N-(2-(3-phenylprop-1-yn-1-yl)phenyl)ethene-1-sulfonamide (**1aE**) (37.4 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry toluene (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 30:1) to give the product (18.3 mg, 59% yield) as yellow oil. ^{1}H NMR (500 MHz, DMSO–d_6): δ 11.33 (s, 1H), 8.02 – 7.97 (m, 1H), 7.60 (d, J = 7.3 Hz, 2H), 7.52 (d, J = 16.5 Hz, 1H), 7.37 – 7.27 (m, 7H), 7.21 – 7.15 (m, 2H), 7.14 – 7.06 (m, 3H), 4.30 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, DMSO–d_6): δ 139.5, 138.9, 138.8, 136.1, 128.54, 128.48, 128.4, 126.2, 126.1, 125.6, 125.5, 123.4, 122.0, 121.3, 119.9, 119.8, 111.3, 109.7, 31.7; IR (thin film): 3407, 3025, 2933, 1612, 1515, 1455, 1354, 865, 730 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{23}H_{20}N$+ 310.1590; found 310.1574.



9,10-Dihydrobenzo[5,6]naphtho[2',1':3,4]cyclohepta[1,2-*b*]indole (4). The reaction was performed following General Procedures B with *N*-(2-(3-(2-fluorophenyl)prop-1-yn-1-yl)phenyl)naphthalene-2-sulfonamide (**1ac**) (41.6 mg, 0.1 mmol), *N*,*N*-diethylethylenediamine (139 mg, 1.2 mmol) and KHMDS (1.0 mol/L in THF, 0.4 mL, 0.4 mmol) dissolved in dry CPME (2 mL) at 100 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 20:1) to give the product (19.9 mg, 60% yield) as white solid. mp = 140–142 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.27 – 8.18 (m, 2H), 8.11 – 8.07 (m, 1H), 8.03 – 7.92 (m, 3H), 7.57 – 7.54 (m, 1H), 7.50 – 7.43 (m, 2H), 7.39 – 7.36 (m, 1H), 7.34 – 7.28 (m, 2H), 7.24 – 7.16 (m, 3H), 3.77 (q, J = 14.0 Hz, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ 142.5, 139.4, 135.8, 135.6, 134.1, 133.6, 133.3, 132.3, 131.8, 128.2, 127.9, 127.84, 127.80, 126.73, 126.67, 126.5, 126.0, 125.2, 125.0, 121.6, 120.7, 119.3, 111.8, 111.3, 33.7; IR (thin film): 3363, 3052, 2922, 1596, 1540, 1474, 1453, 818, 746 cm^{-1}; HRMS (ESI) *m/z*: [M + H]$^+$ calcd for $C_{25}H_{18}N^+$ 332.1434; found 332.1431.



2-Benzyl-1-(phenylsulfonyl)-*1H*-indole (5). White solid. mp = 125-127 ℃. ^{1}H NMR (500 MHz, DMSO-d_6): δ 8.02 (d, J = 8.4 Hz, 1H), 7.79 – 7.74 (m, 2H), 7.65 (t, J = 7.5 Hz, 1H), 7.51 (t, J = 7.9 Hz, 2H), 7.47 (d, J = 7.6 Hz, 1H), 7.34 – 7.24 (m, 6H), 7.23 – 7.18 (m, 1H), 6.35 (s, 1H), 4.41 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz,

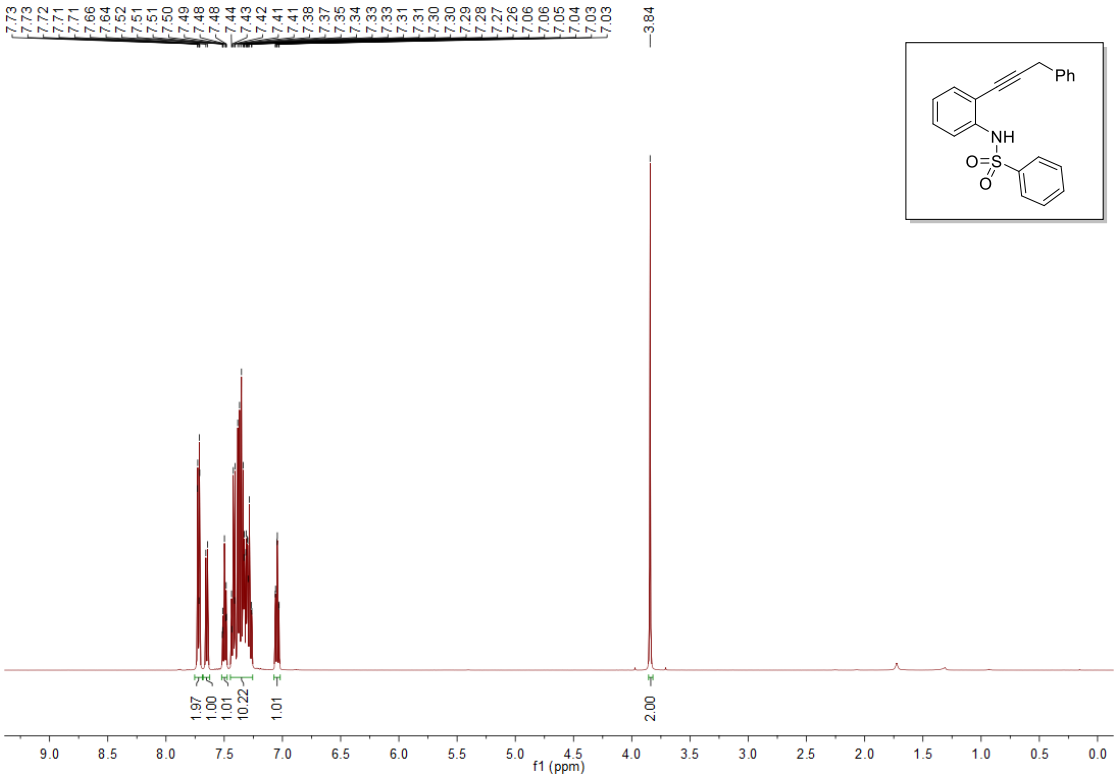
DMSO-d_6): δ 140.9, 138.2, 137.6, 136.3, 134.5, 129.7, 129.2, 129.0, 128.4, 126.6, 126.3, 124.3, 123.8, 120.7, 114.3, 111.3, 34.4; IR(KBr): 3067, 3029, 2900, 1620, 1589, 1490, 1446, 1369 cm^{-1}. HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{21}H_{18}NO_2S^+$ 348.1053; found 348.1046.

Reference:

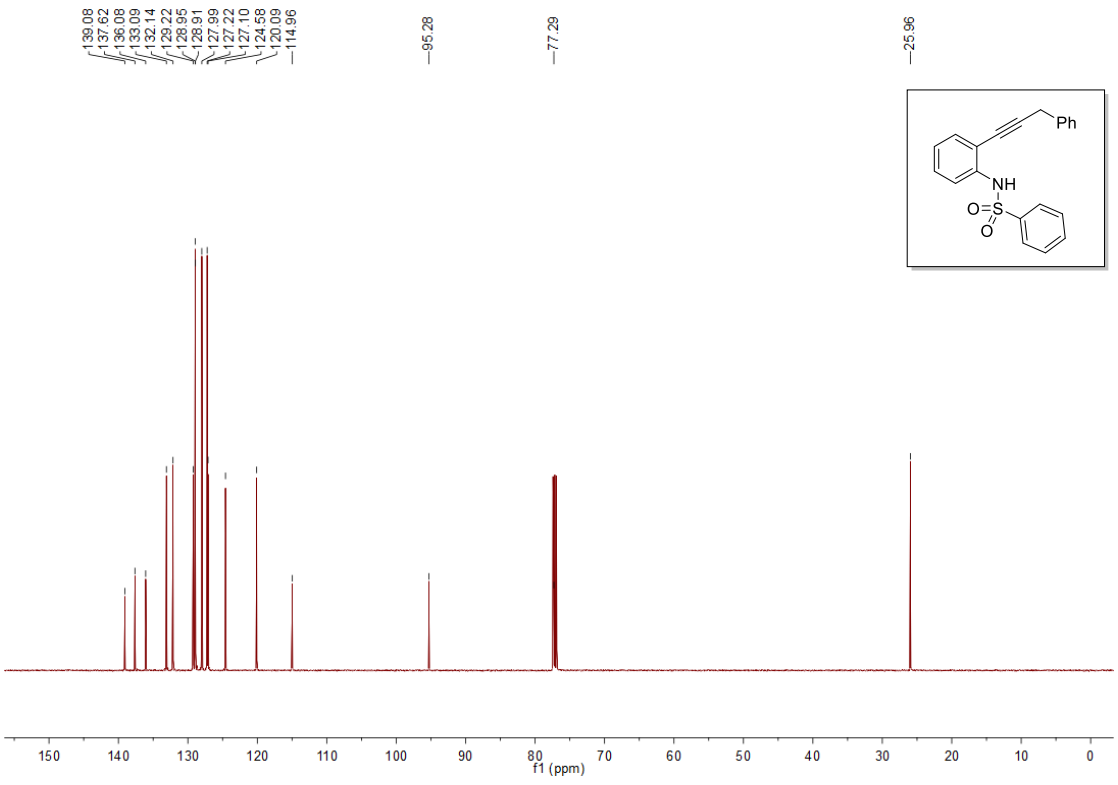
1. Yin, Y., Ma, W., Chai, Z. & Zhao, G. Et_2Zn-catalyzed intramolecular hydroamination of alkynyl sulfonamides and the related tandem cyclization/addition reaction. *The Journal of Organic Chemistry*. **72,** 5731-5736 (2007).
2. Shu, C., Li, L., Chen, C.-B., Shen, H.-C. & Ye, L.-W. Gold-catalyzed 6-*exo-dig* cycloisomerization: A versatile approach to functionalized phenanthrenes. *Chemistry – An Asian Journal*. **9,** 1525-1529 (2014).
3. Chen, Z., Shi, X.-X., Ge, D.-Q., Jiang, Z.-Z. & Wu, J.-S. Facile synthesis of indoles by K_2CO_3 catalyzed cyclization reaction of 2-ethynylanilines in water. *Chinese Chemical Letters*. **28,** 231-234 (2017).
4. Wang, H.-R., et al. Copper-catalyzed tandem cis-carbometallation/cyclization of imine-ynamides with arylboronic acids. *Chem. Commun.* **56,** 4832-4835 (2020).
5. Alajarín, M., Vidal, A. & Ortín, M.-M. Intramolecular addition of benzylic radicals onto ketenimines. Synthesis of 2-alkylindoles. *Org. Biomol. Chem.* **1,** 4282-4292 (2003).
6. Chandrasekhar, S. & Mukherjee, S. A Convenient Modification of the Fischer Indole Synthesis with a Solid Acid. *Synthetic Communications*. **45,** 1018-1022 (2015).
7. Nallagonda, R., Rehan, M. & Ghorai, P. Synthesis of Functionalized Indoles via Palladium-Catalyzed Aerobic Oxidative Cycloisomerization of o-Allylanilines. *Org. Lett.* **16,** 4786-4789 (2014).

NMR Spectra

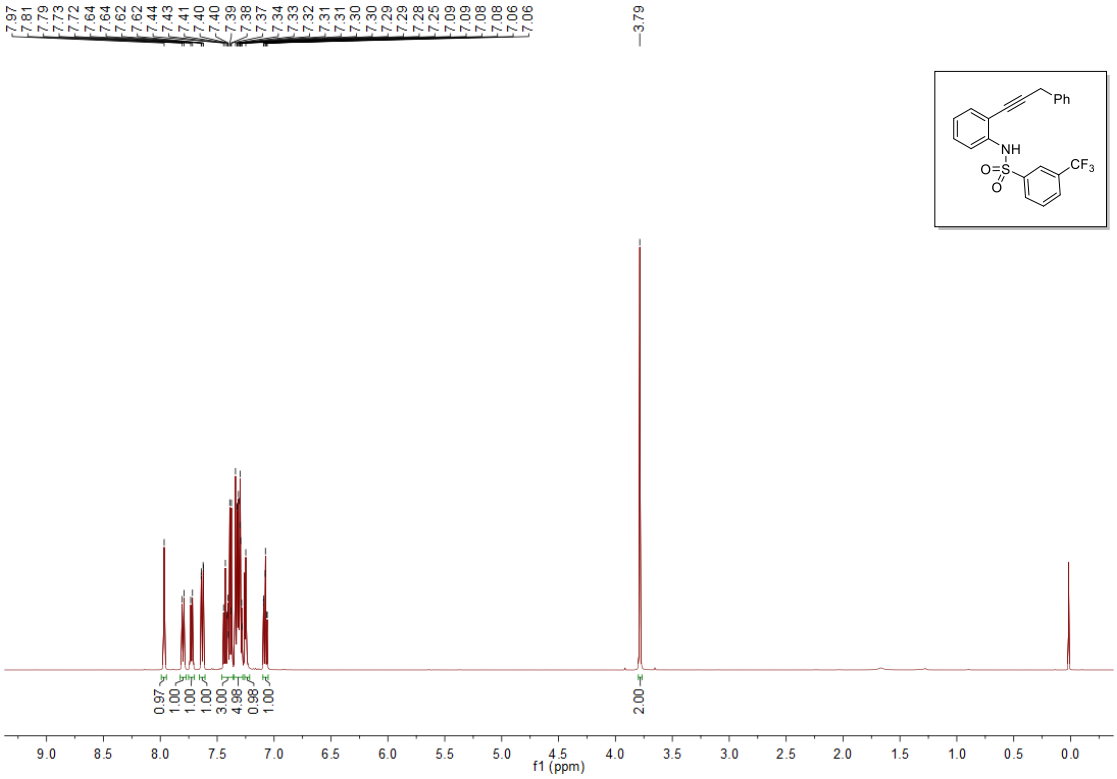
Supplementary Figure 1. ^{1}H NMR Spectrum of 1a (500 MHz, $CDCl_3$)



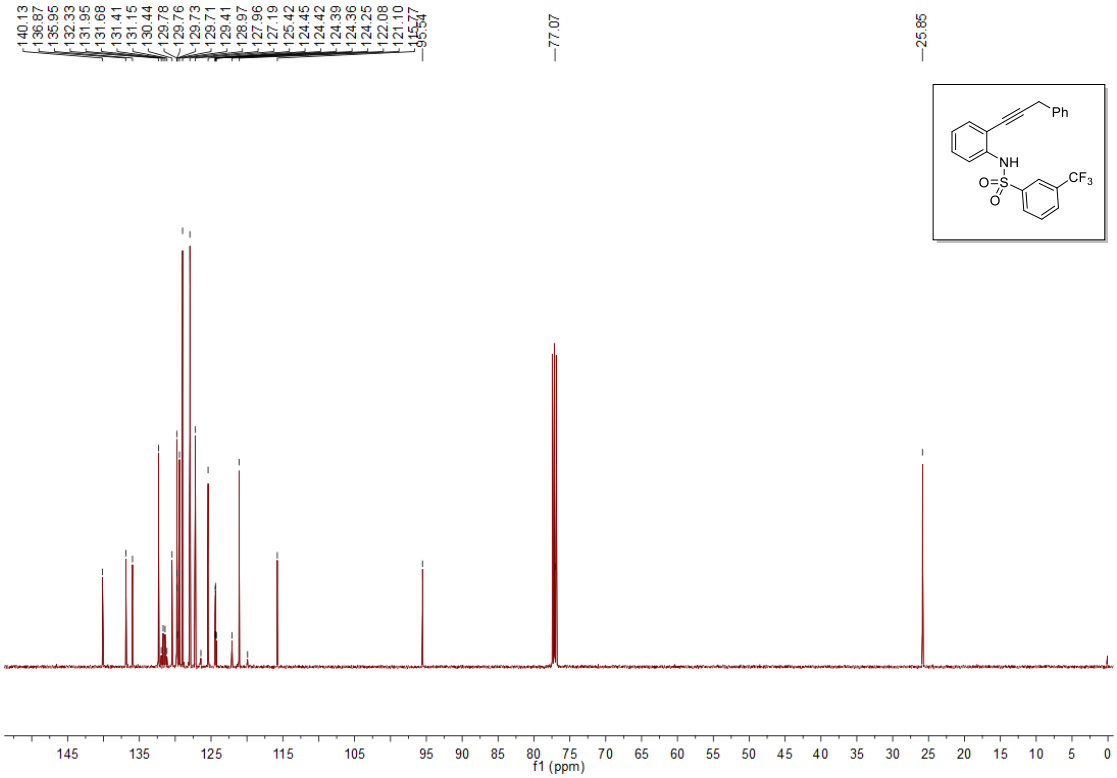
Supplementary Figure 2. ^{13}C NMR Spectrum of 1a (125 MHz, $CDCl_3$)



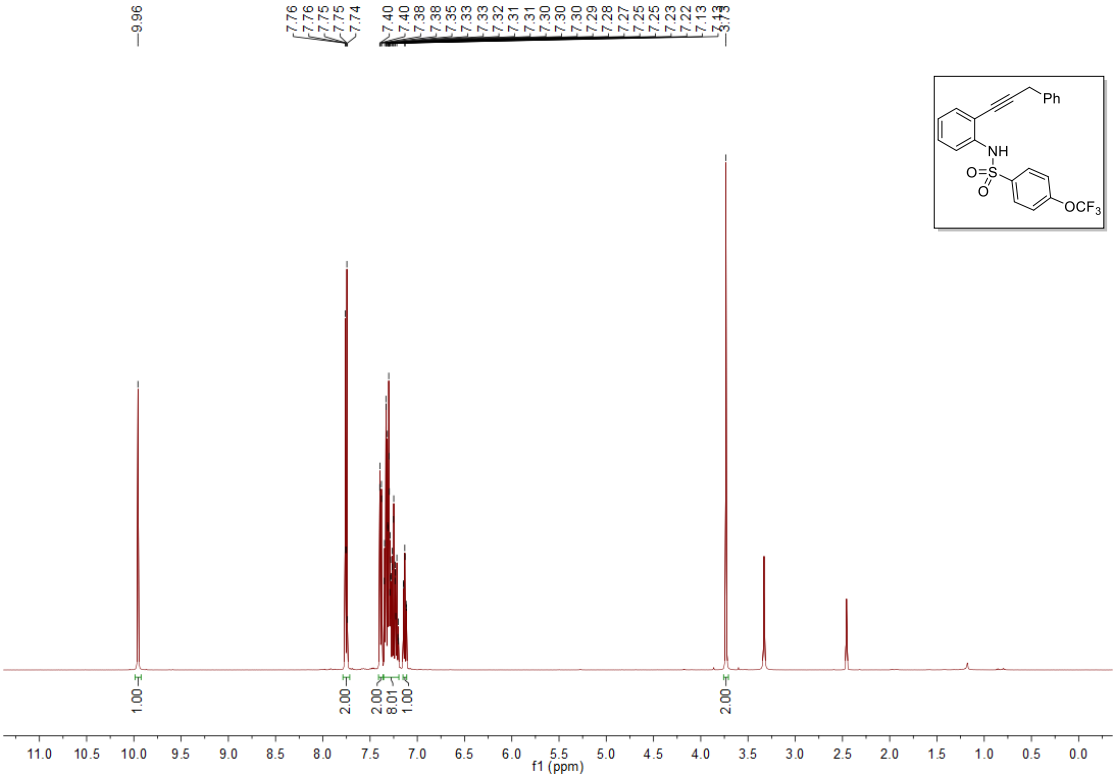
Supplementary Figure 3. ^{1}H NMR Spectrum of 1b (500 MHz, $CDCl_3$)



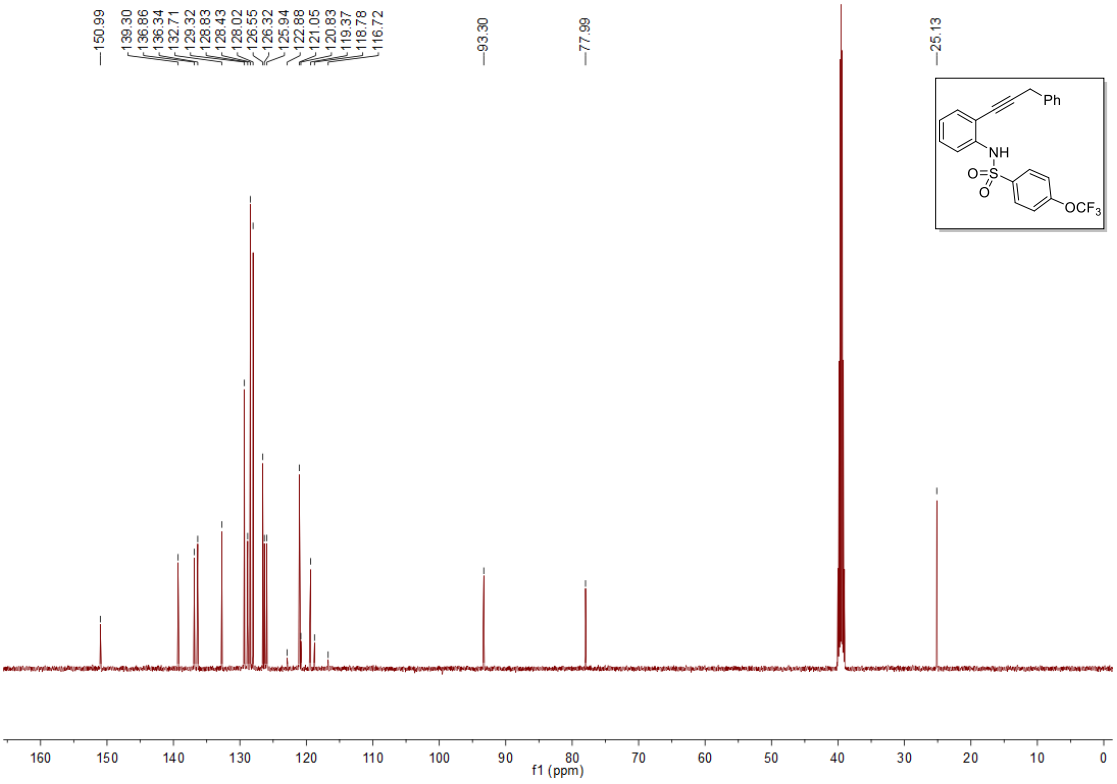
Supplementary Figure 4. ^{13}C NMR Spectrum of 1b (125 MHz, $CDCl_3$)



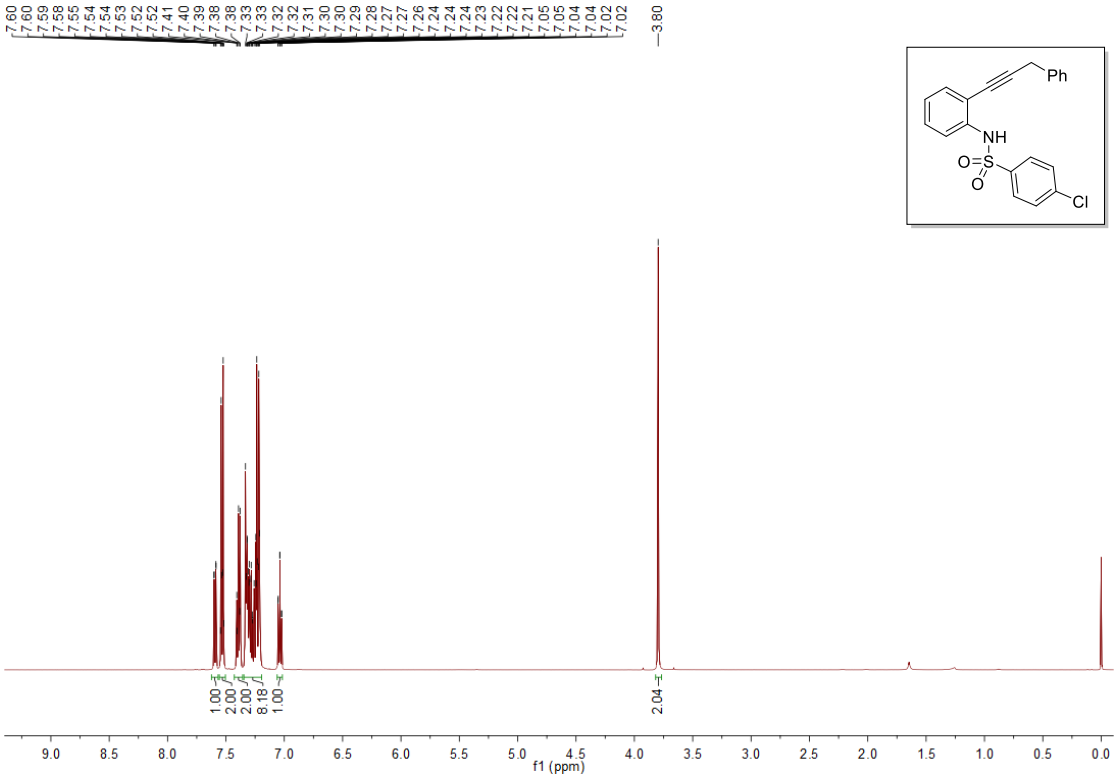
Supplementary Figure 5. ^{1}H NMR Spectrum of 1c (500 MHz, DMSO–d_6)



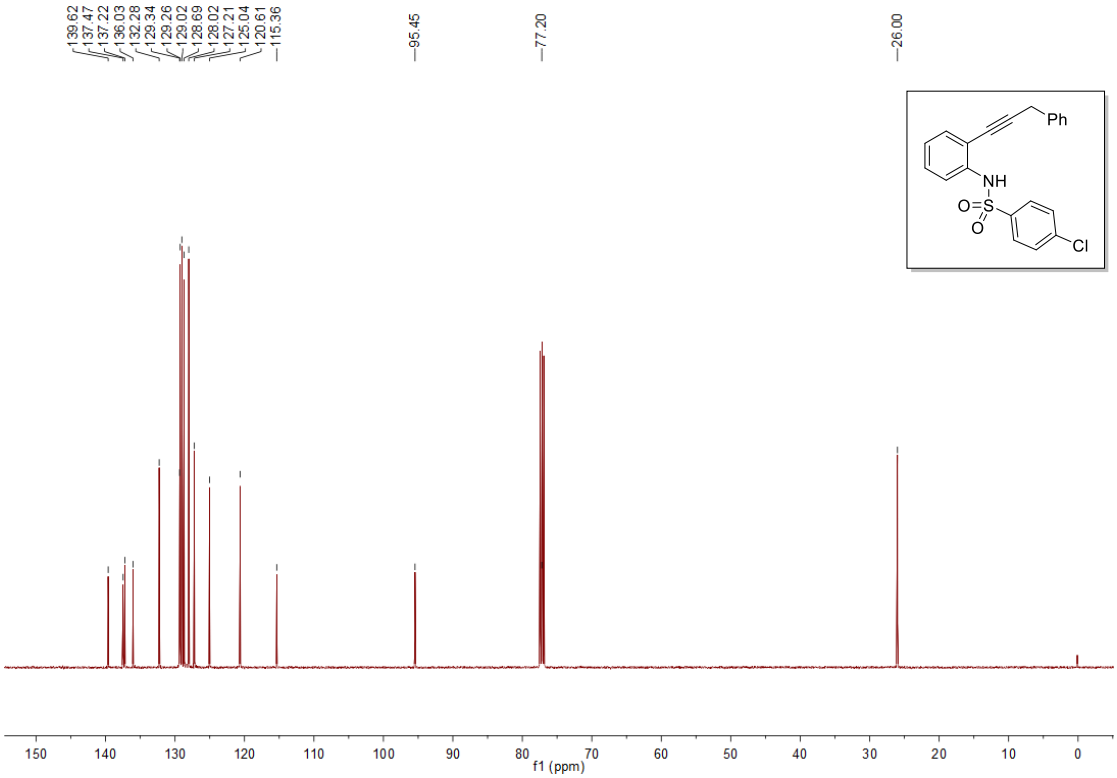
Supplementary Figure 6. ^{13}C NMR Spectrum of 1c (125 MHz, DMSO–d_6)



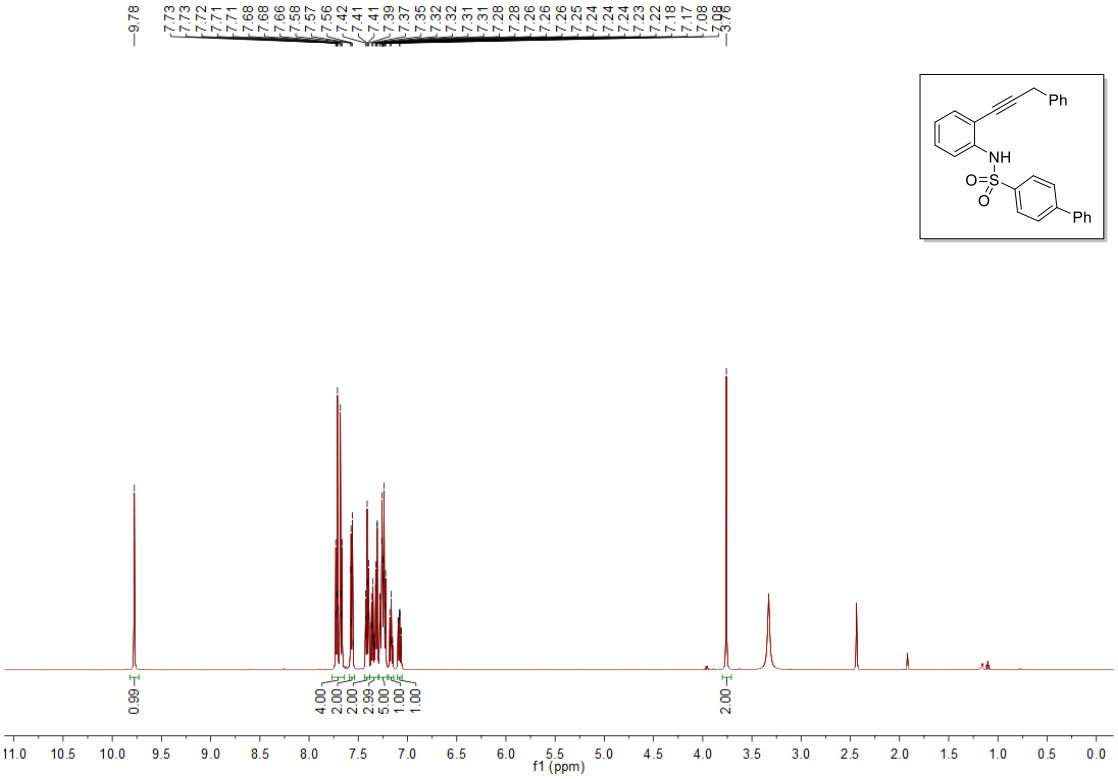
Supplementary Figure 7. ^{1}H NMR Spectrum of 1d (500 MHz, $CDCl_3$)



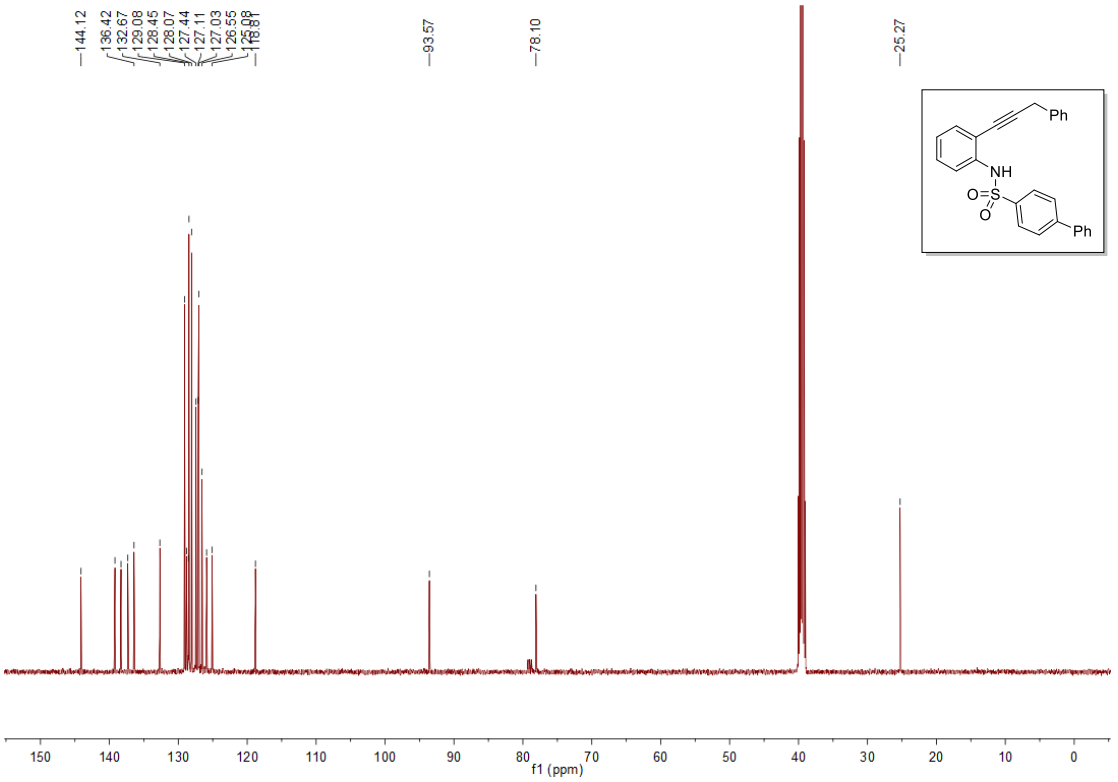
Supplementary Figure 8. ^{13}C NMR Spectrum of 1d (125 MHz, $CDCl_3$)



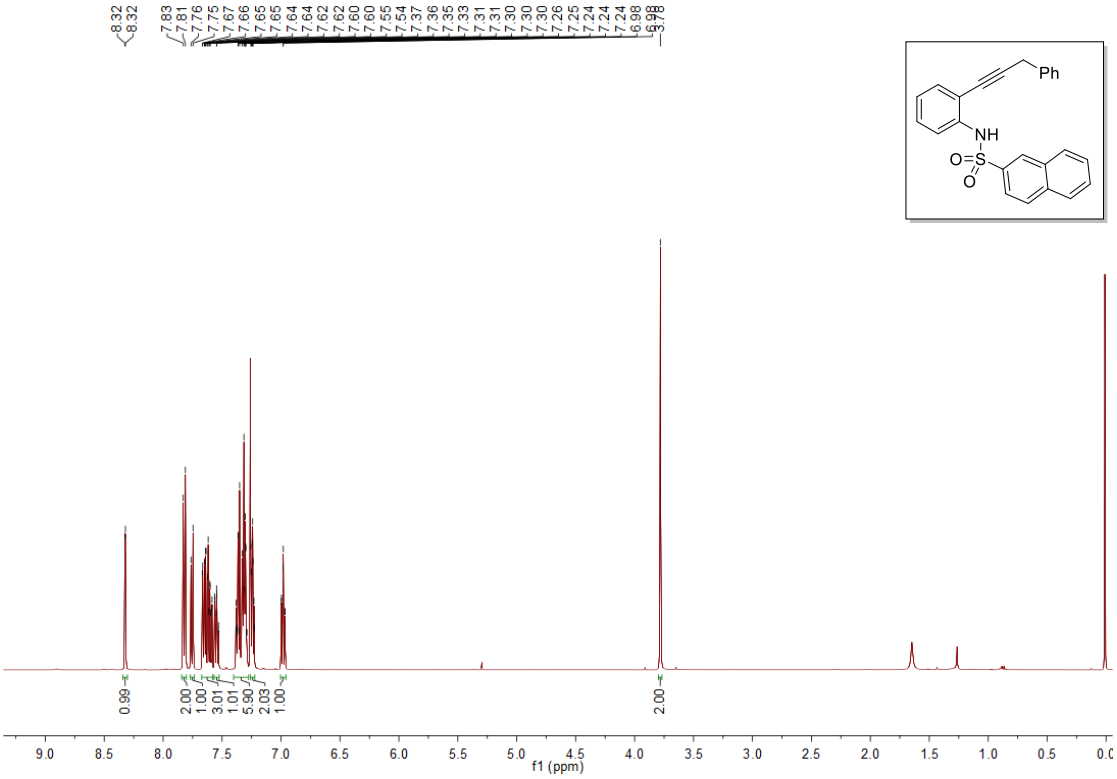
Supplementary Figure 9. ^{1}H NMR Spectrum of 1e (500 MHz, DMSO–d_6)



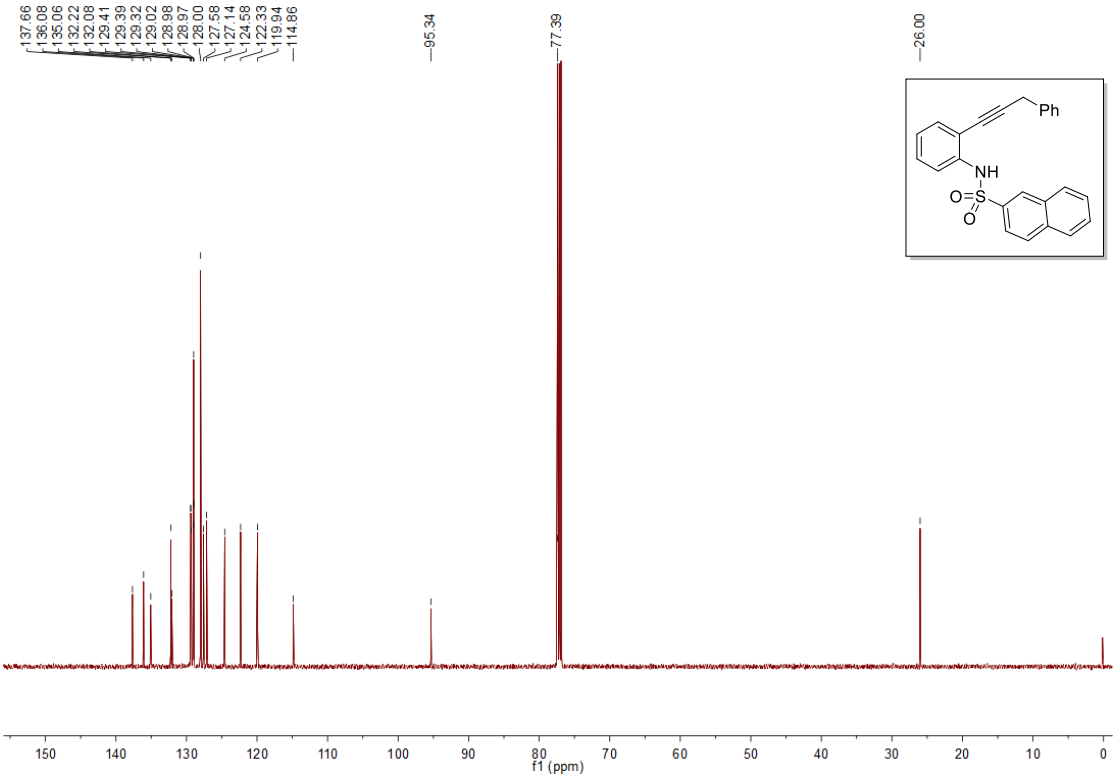
Supplementary Figure 10. ^{13}C NMR Spectrum of 1e (125 MHz, DMSO–d_6)



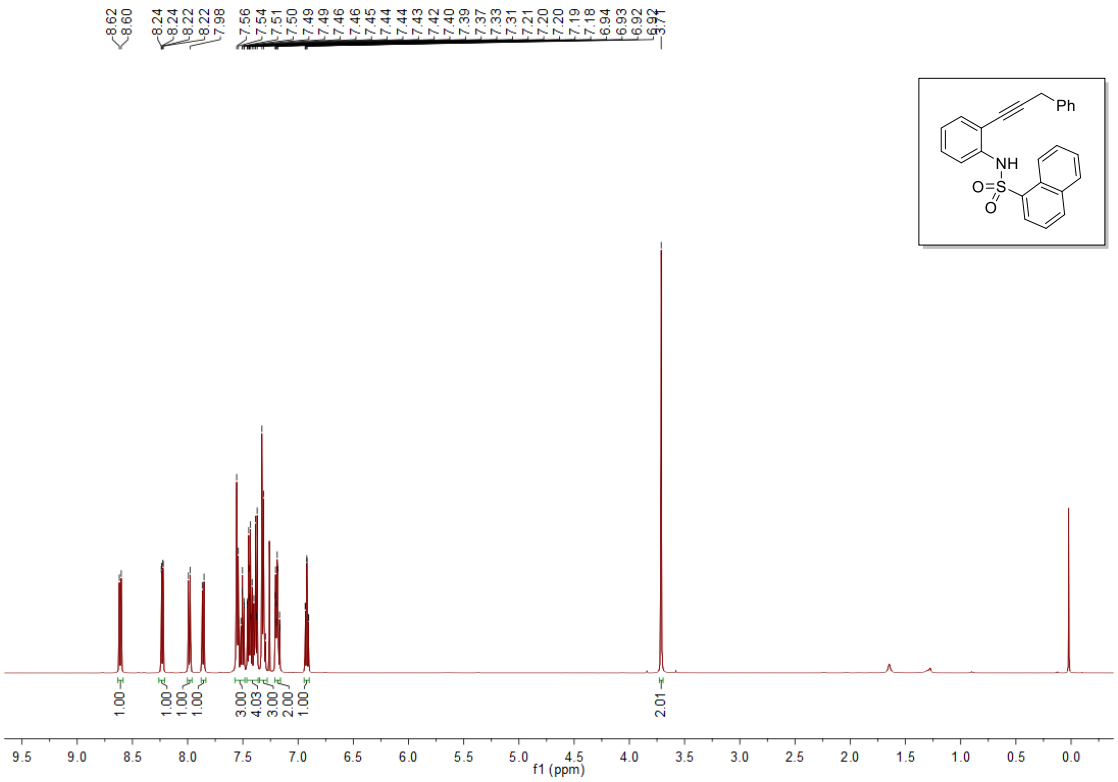
Supplementary Figure 11. ^{1}H NMR Spectrum of 1f (500 MHz, $CDCl_3$)



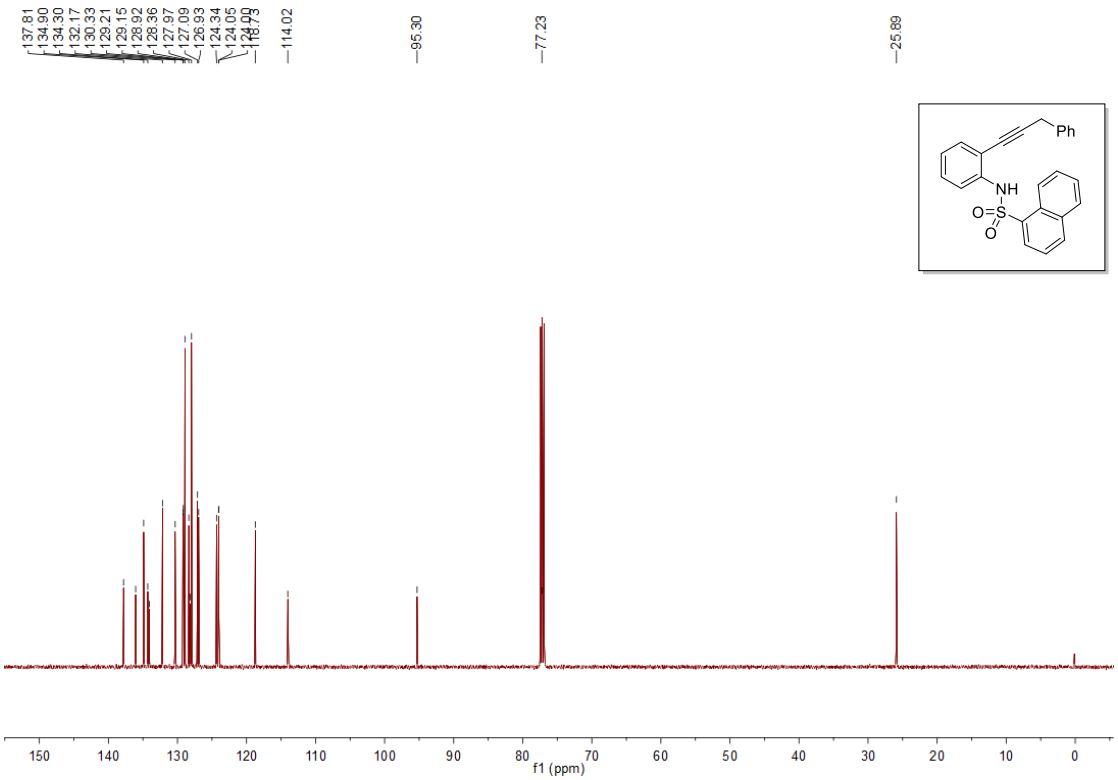
Supplementary Figure 12. ^{13}C NMR Spectrum of 1f (125 MHz, $CDCl_3$)



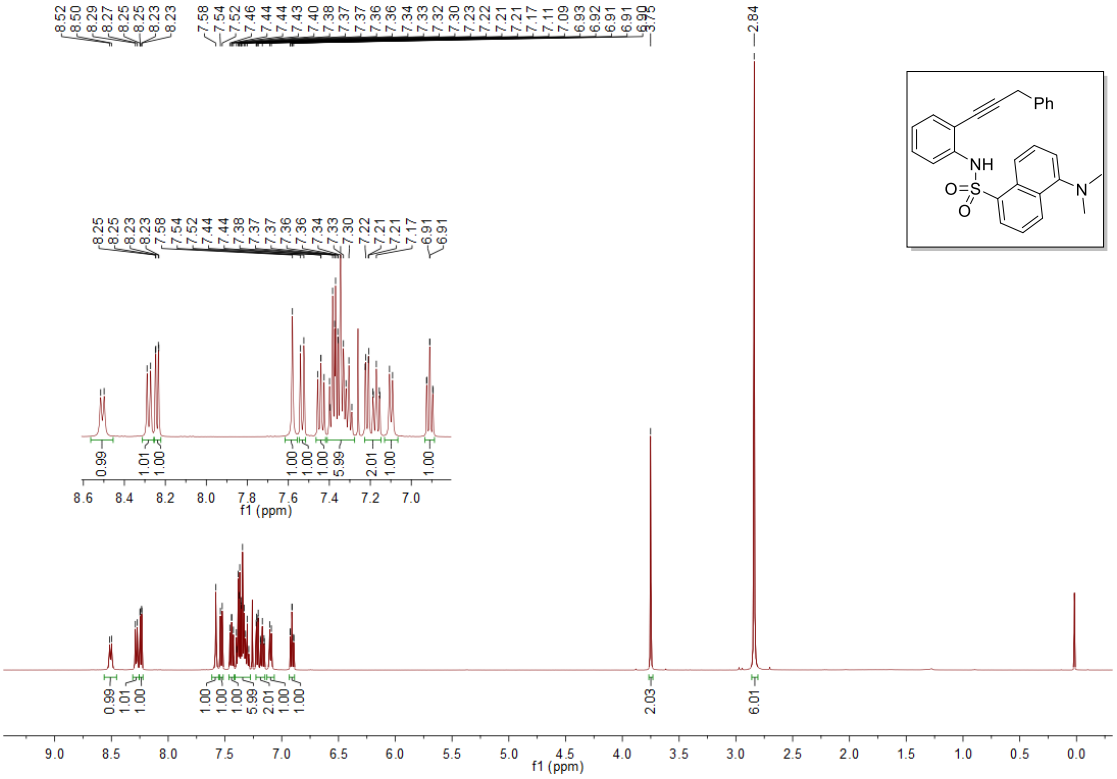
Supplementary Figure 13. ^{1}H NMR Spectrum of 1g (500 MHz, $CDCl_3$)



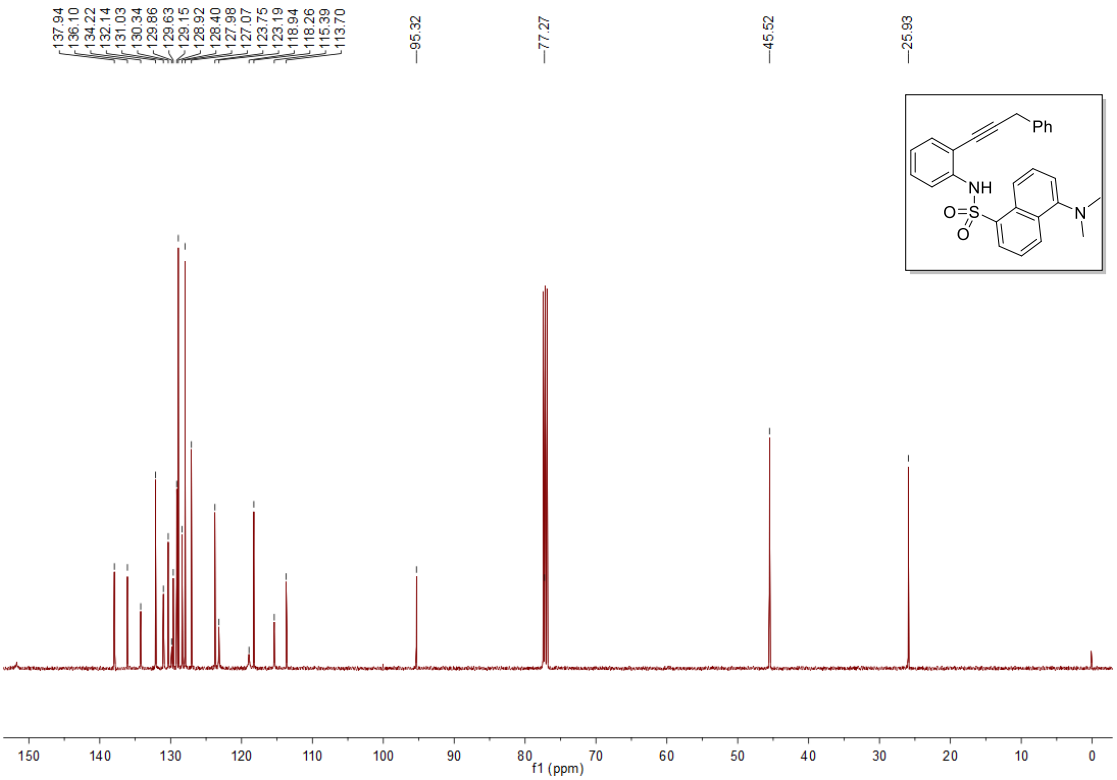
Supplementary Figure 14. ^{13}C NMR Spectrum of 1g (125 MHz, $CDCl_3$)



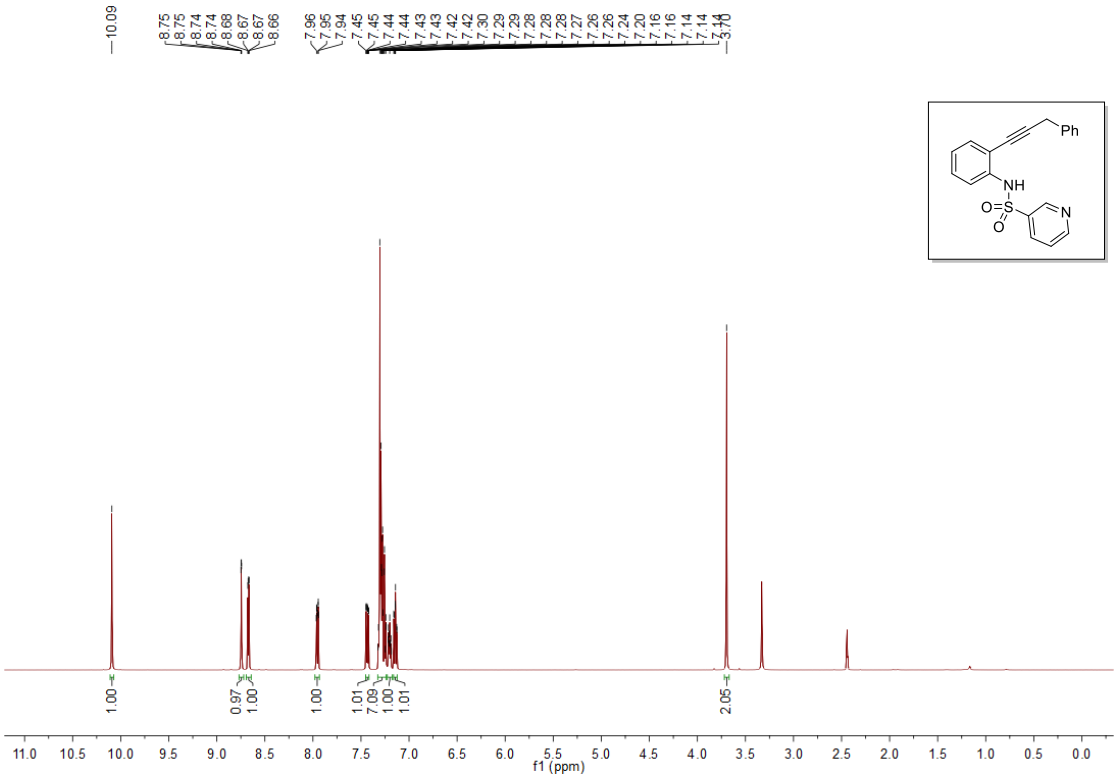
Supplementary Figure 15. ^{1}H NMR Spectrum of 1h (500 MHz, $CDCl_3$)



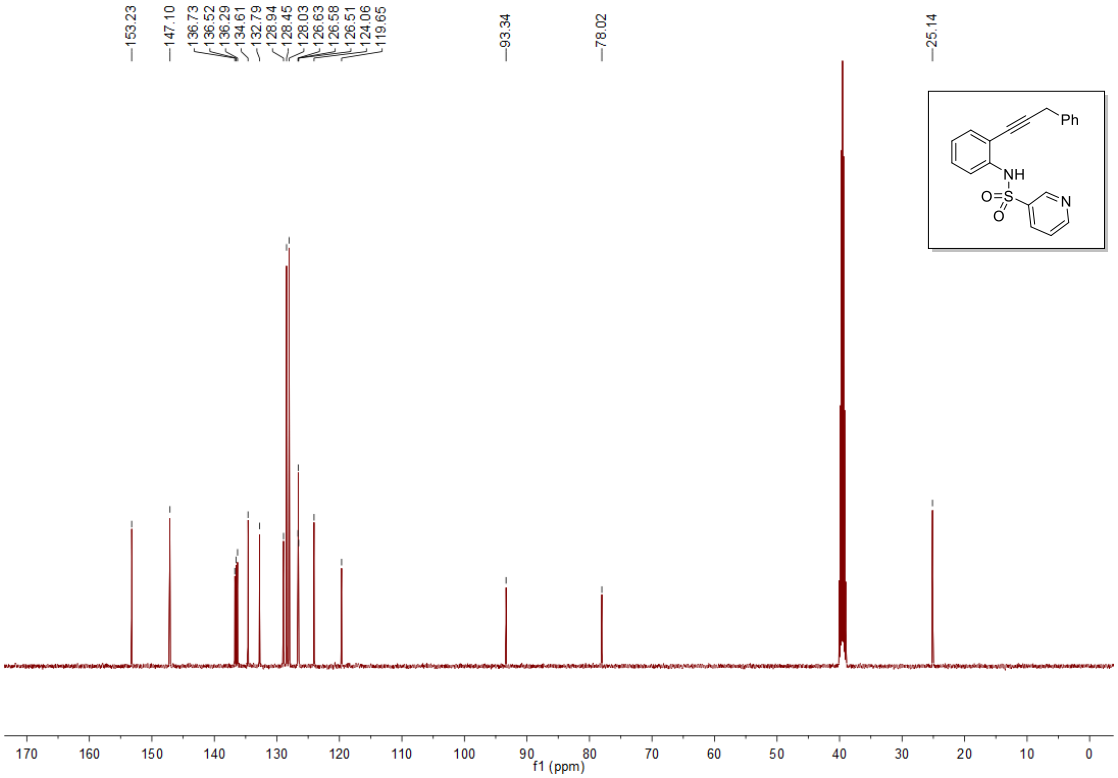
Supplementary Figure 16. ^{13}C NMR Spectrum of 1h (125 MHz, $CDCl_3$)



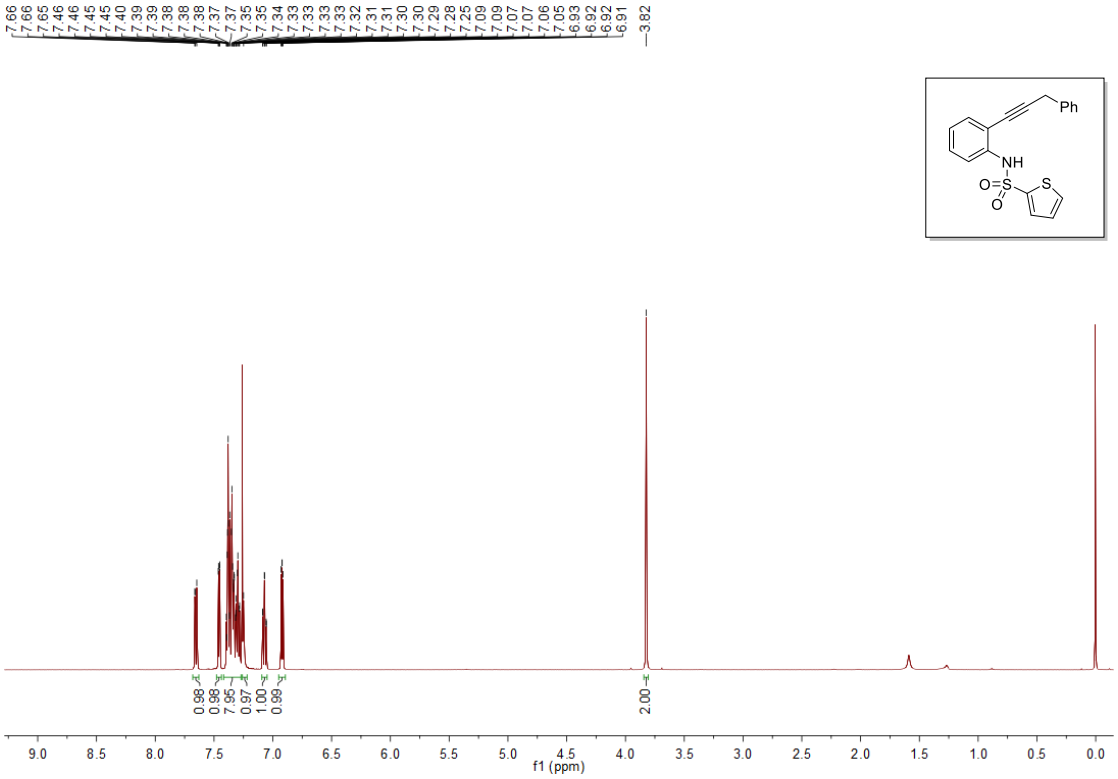
Supplementary Figure 17. ^{1}H NMR Spectrum of 1i (500 MHz, DMSO–d_6)



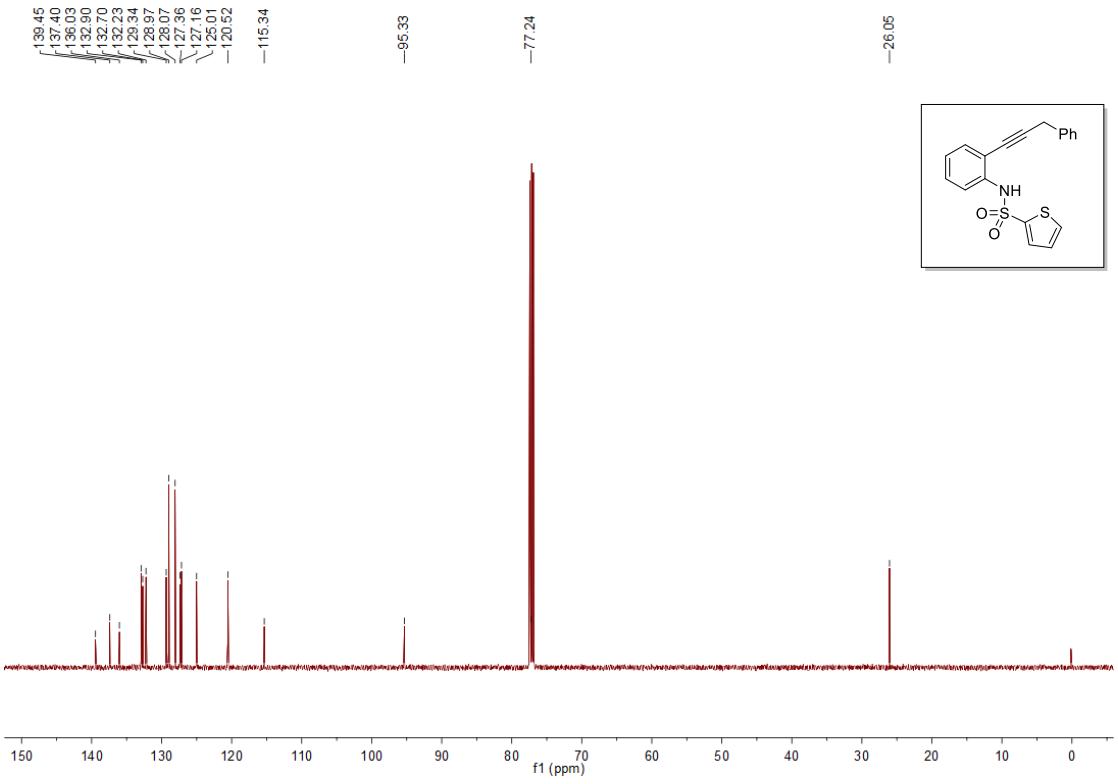
Supplementary Figure 18. ^{13}C NMR Spectrum of 1i (125 MHz, DMSO–d_6)



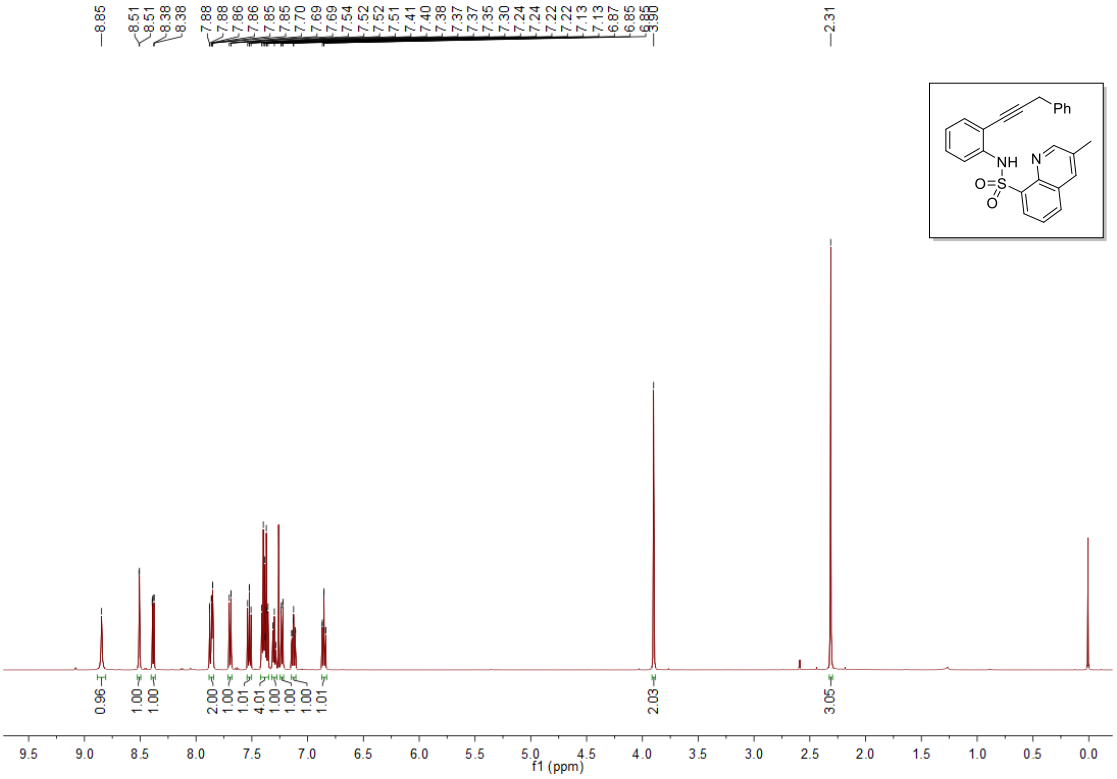
Supplementary Figure 19. ^{1}H NMR Spectrum of 1j (500 MHz, $CDCl_3$)



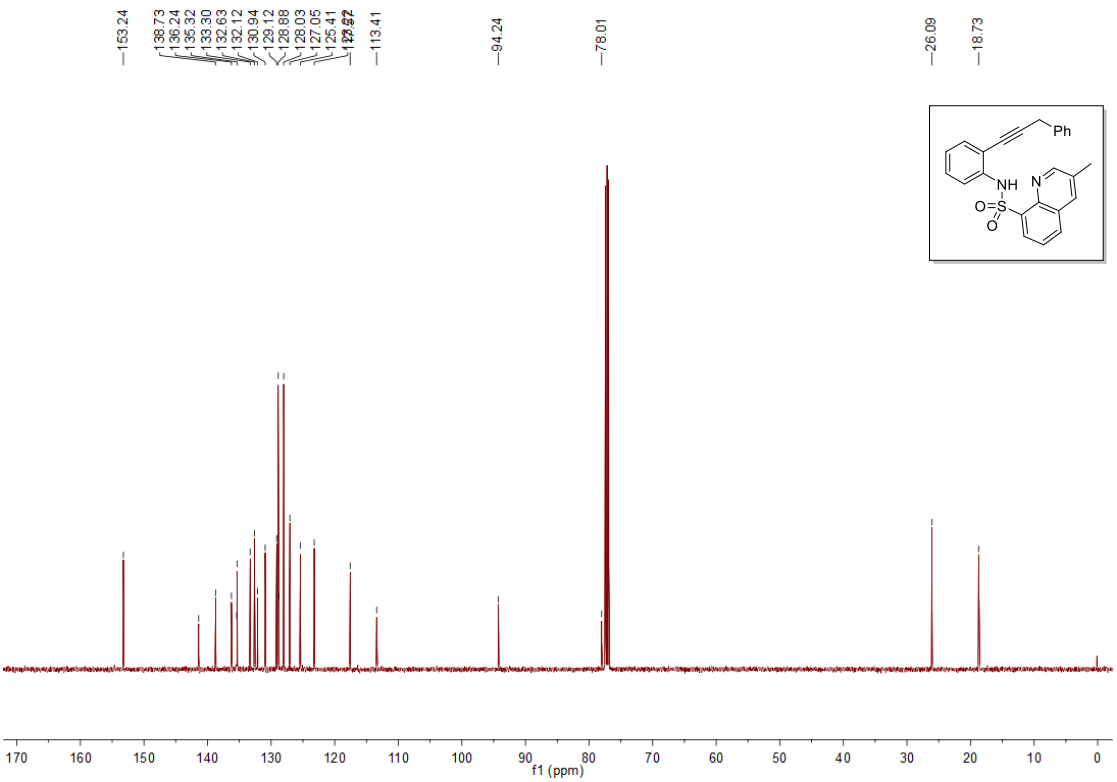
Supplementary Figure 20. ^{13}C NMR Spectrum of 1j (125 MHz, $CDCl_3$)



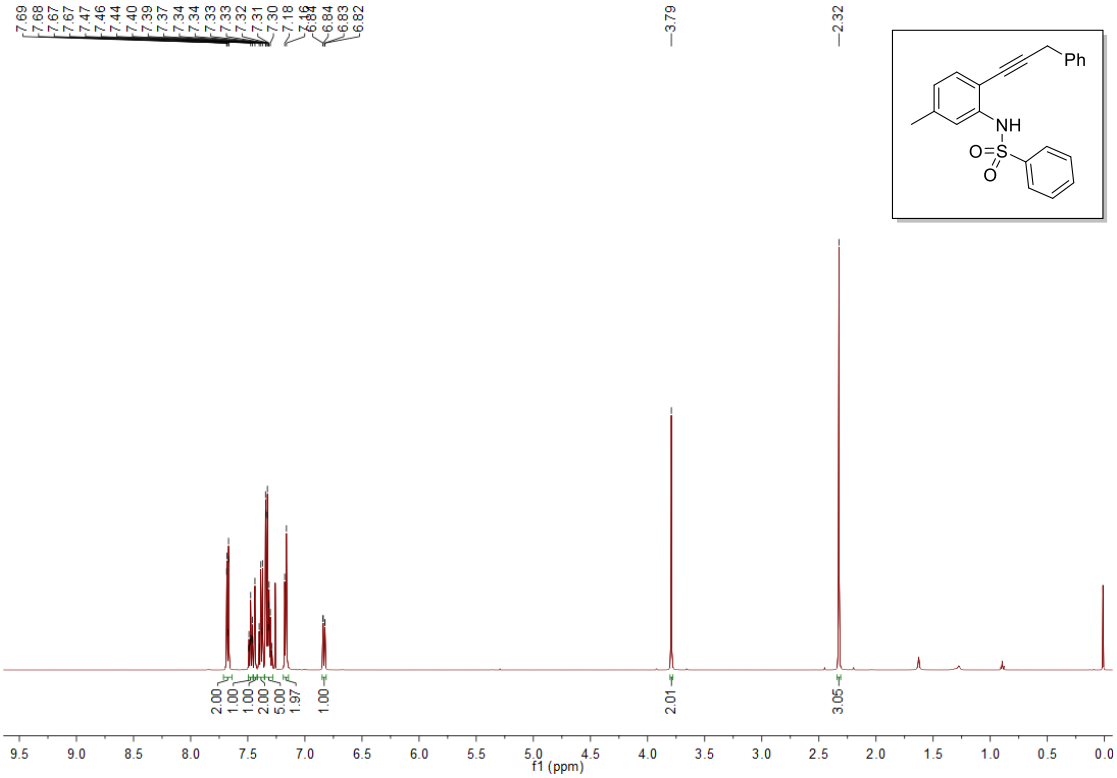
Supplementary Figure 21. ^{1}H NMR Spectrum of 1k (500 MHz, $CDCl_3$)



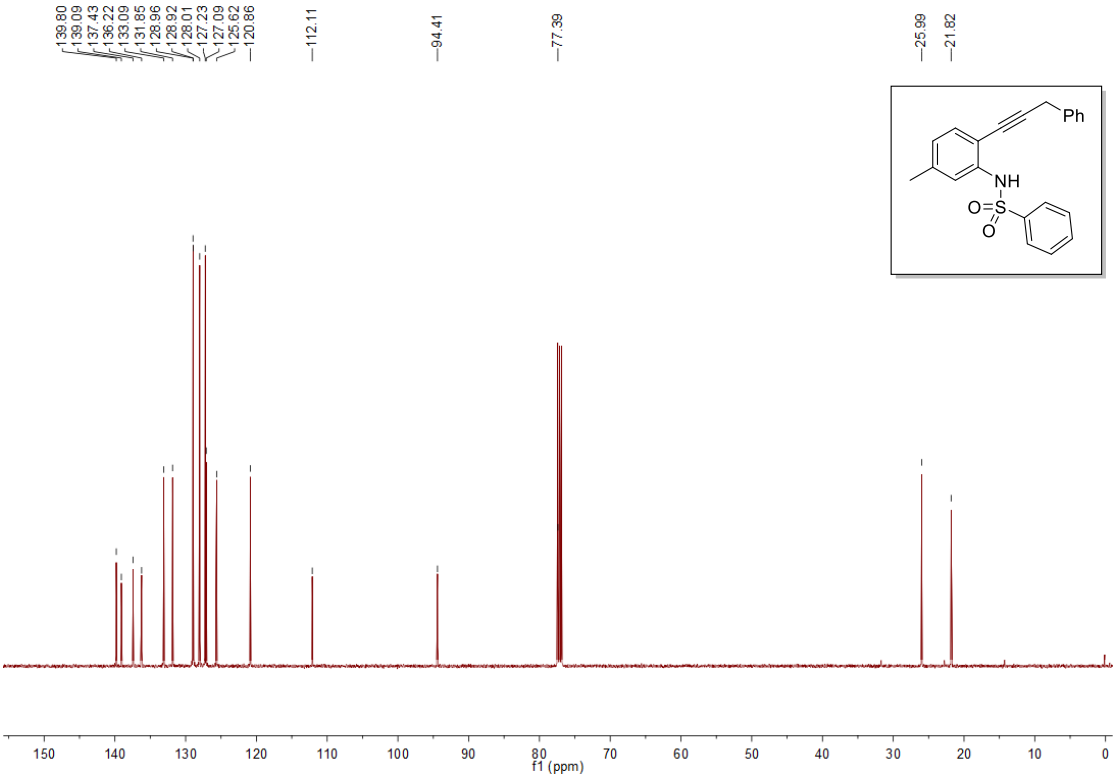
Supplementary Figure 22. ^{13}C NMR Spectrum of 1k (125 MHz, $CDCl_3$)



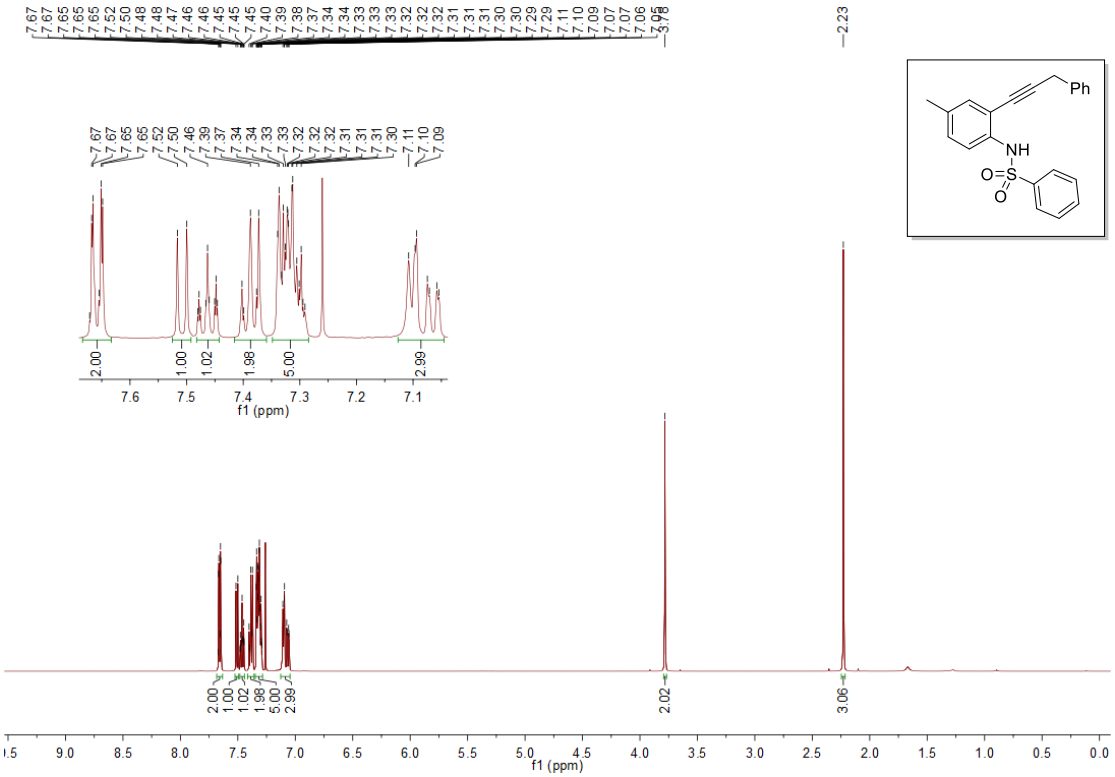
Supplementary Figure 23. ^{1}H NMR Spectrum of 1l (500 MHz, $CDCl_3$)



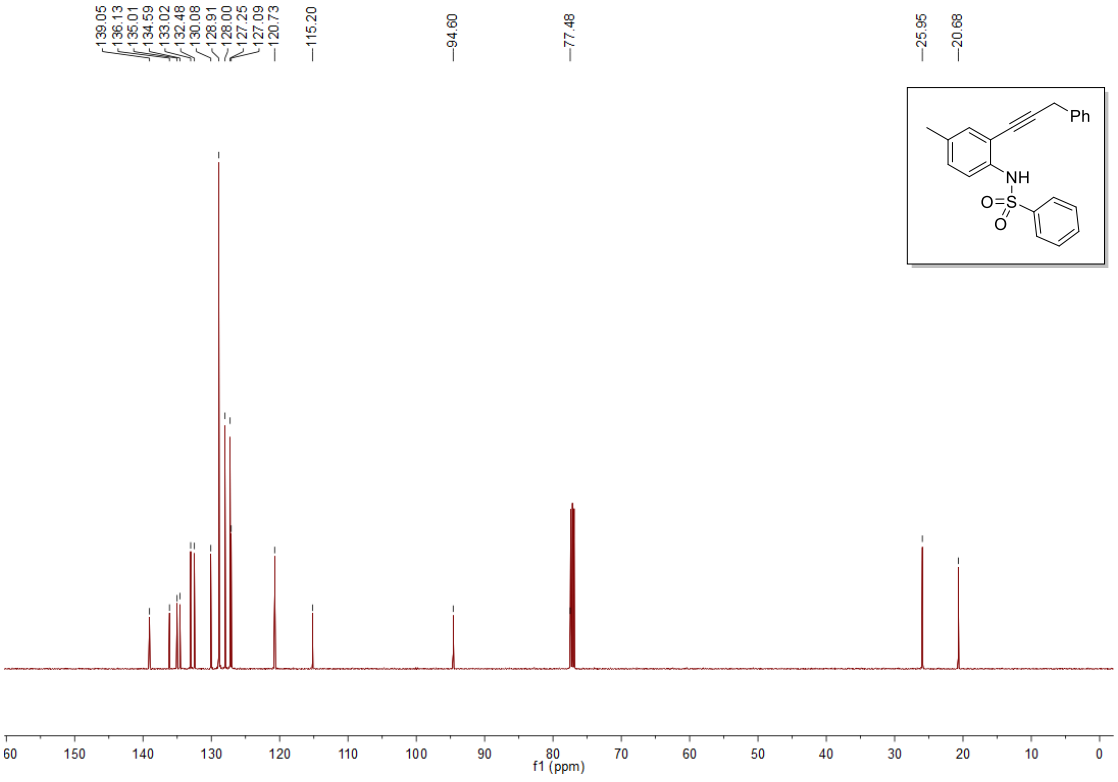
Supplementary Figure 24. ^{13}C NMR Spectrum of 1l (125 MHz, $CDCl_3$)



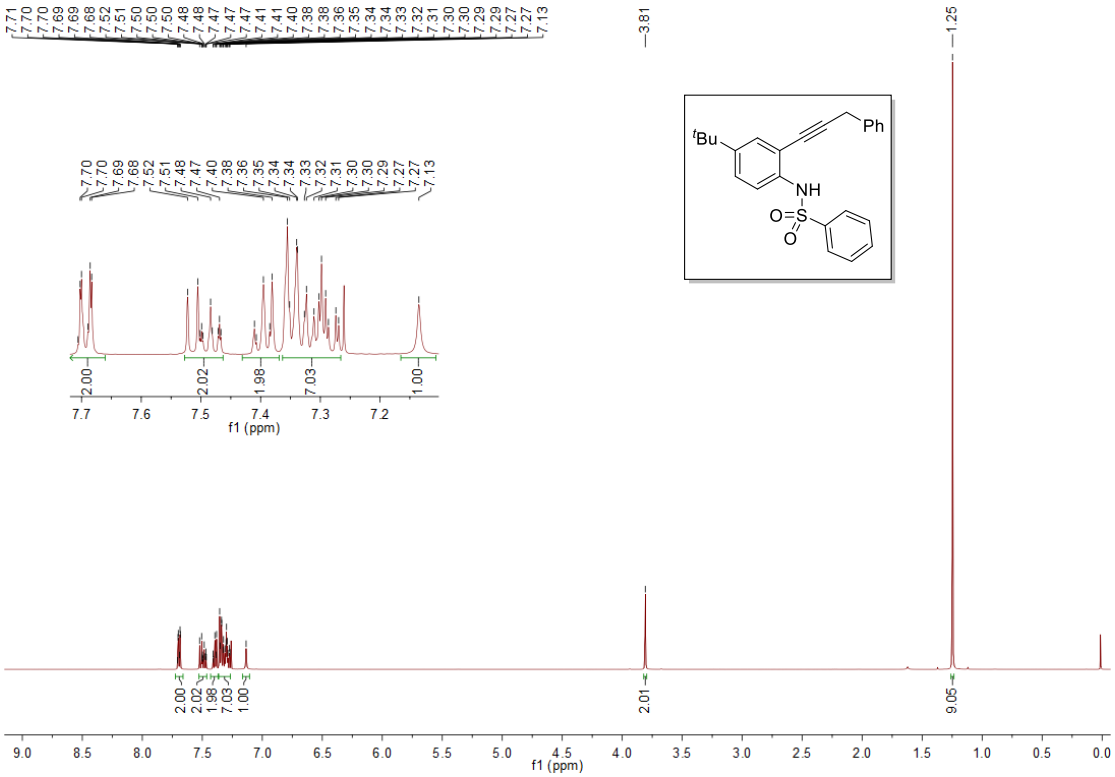
Supplementary Figure 25. ^{1}H NMR Spectrum of 1m (500 MHz, $CDCl_3$)



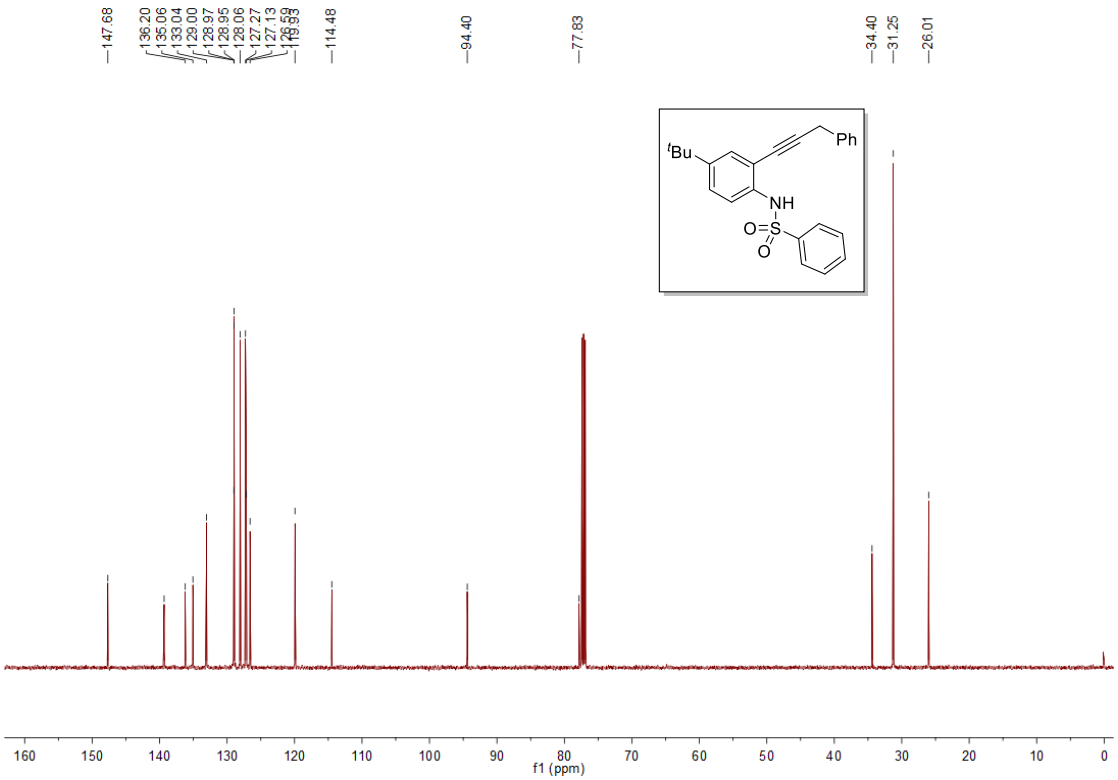
Supplementary Figure 26. ^{13}C NMR Spectrum of 1m (125 MHz, $CDCl_3$)



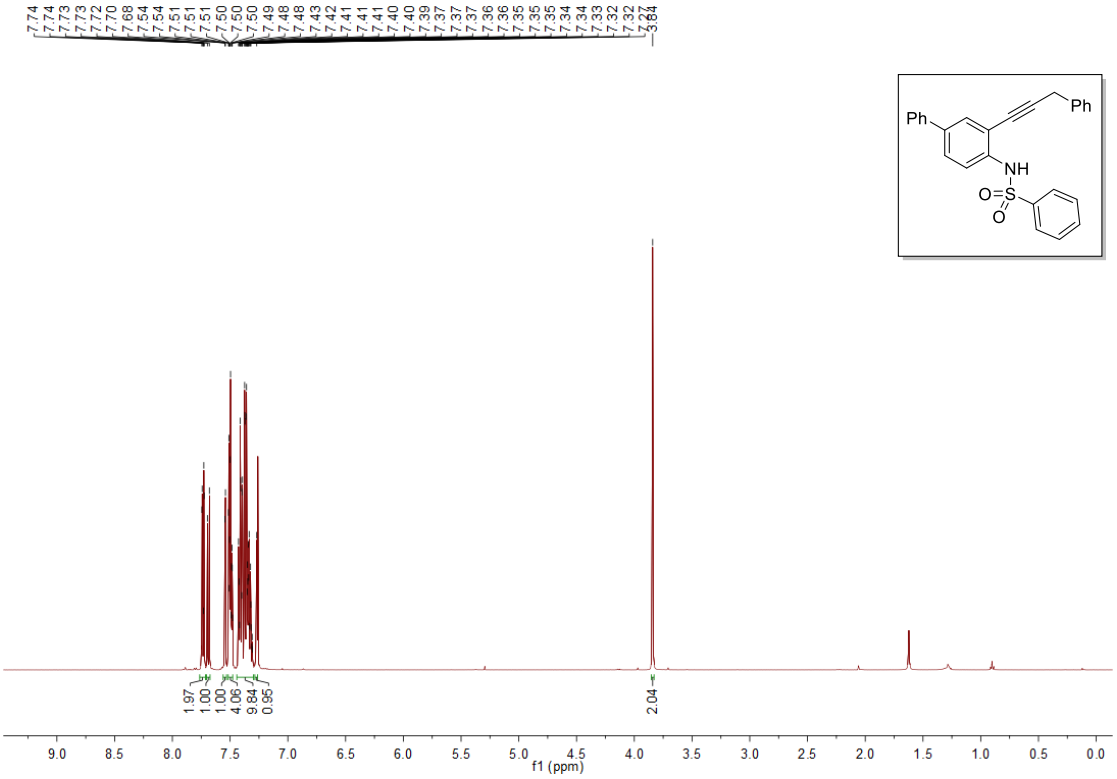
Supplementary Figure 27. ^{1}H NMR Spectrum of 1n (500 MHz, $CDCl_3$)



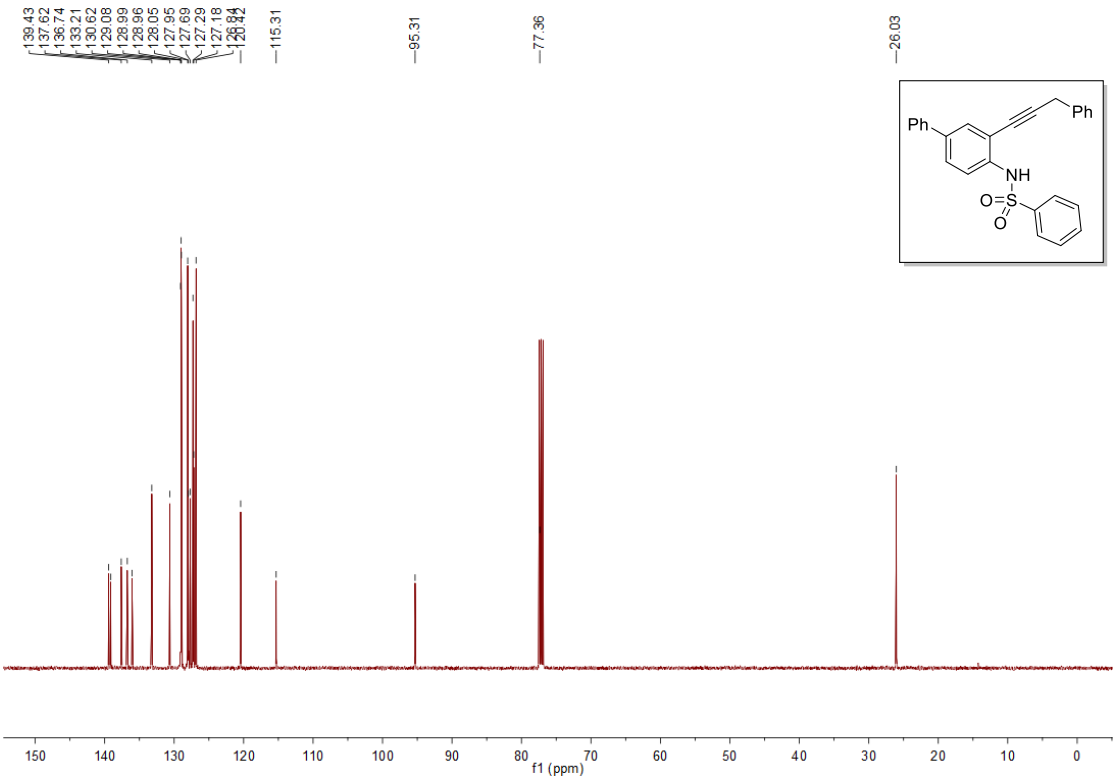
Supplementary Figure 28. ^{13}C NMR Spectrum of 1n (125 MHz, $CDCl_3$)



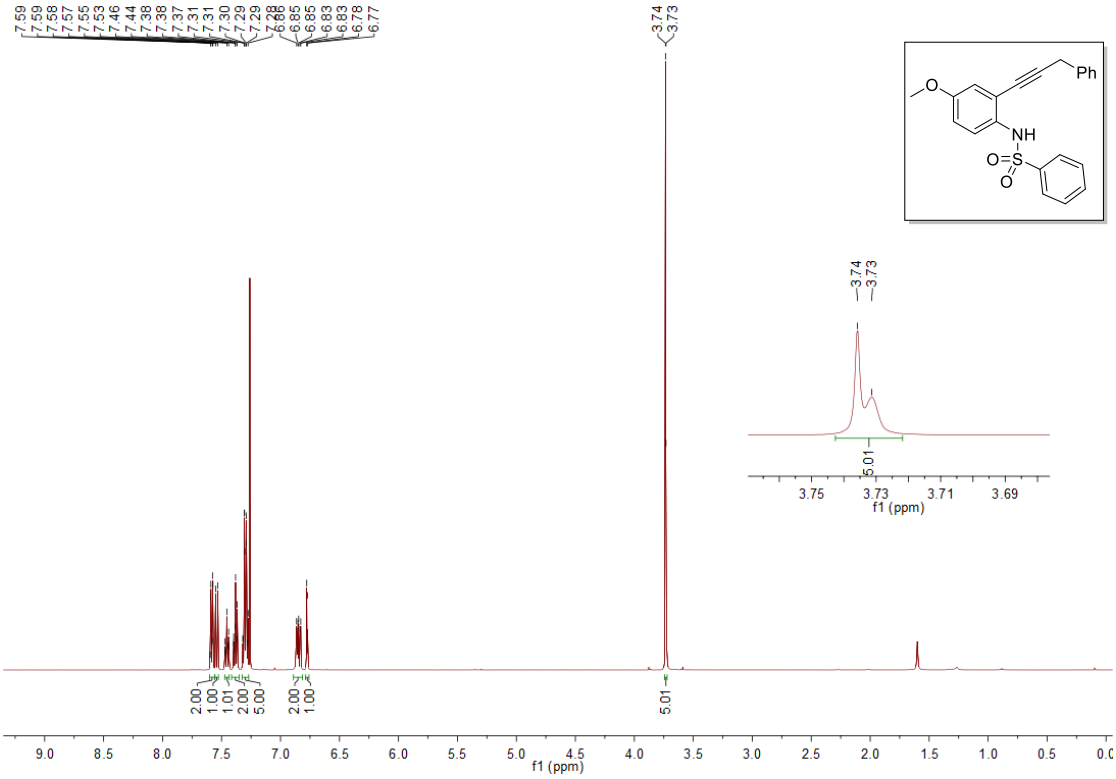
Supplementary Figure 29. ^{1}H NMR Spectrum of 1o (500 MHz, $CDCl_3$)



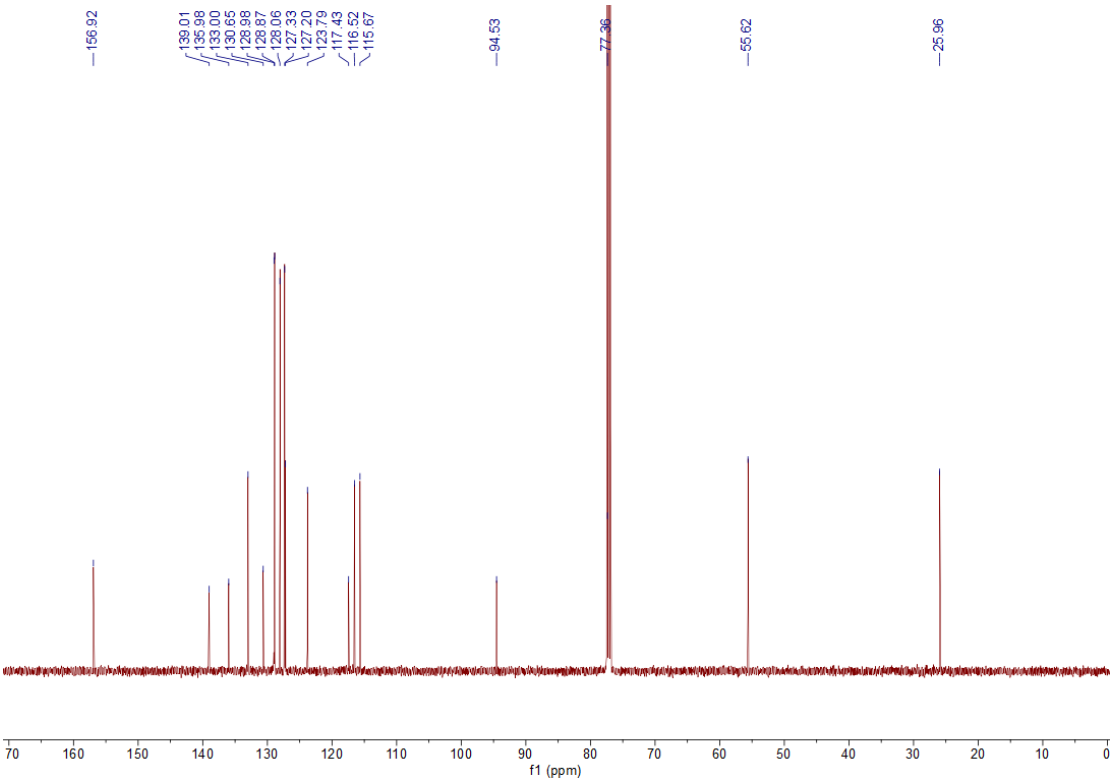
Supplementary Figure 30. ^{13}C NMR Spectrum of 1o (125 MHz, $CDCl_3$)



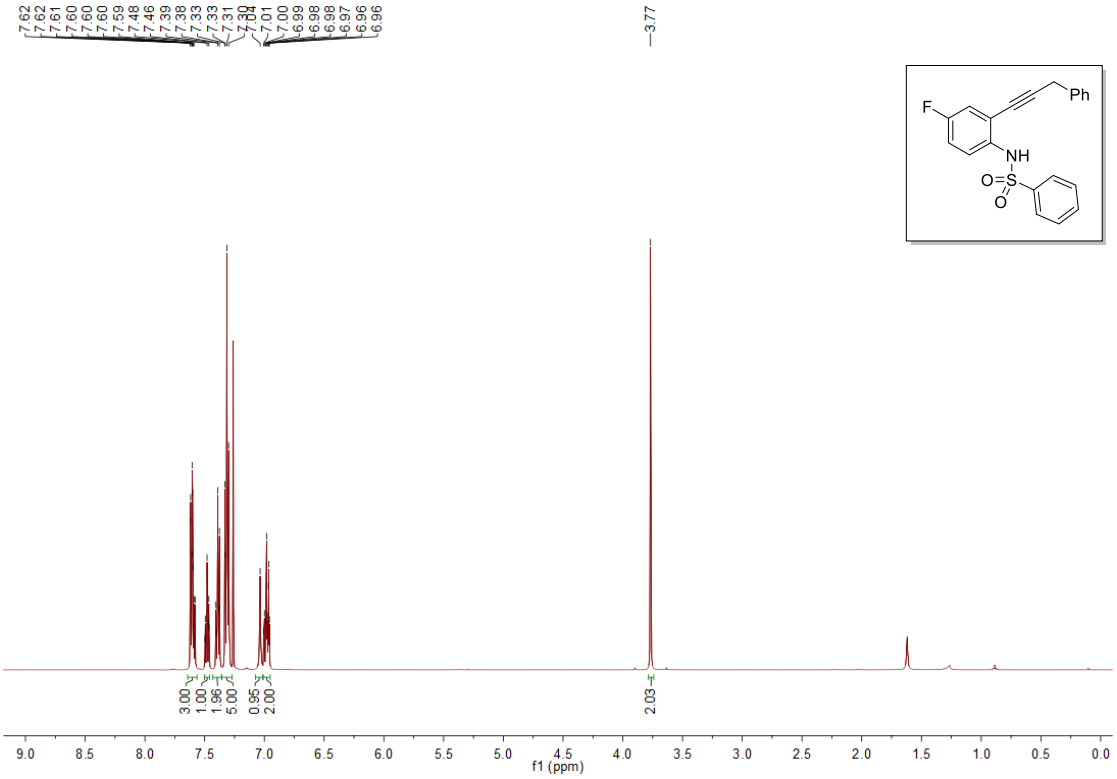
Supplementary Figure 31. ^{1}H NMR Spectrum of 1p (500 MHz, $CDCl_3$)



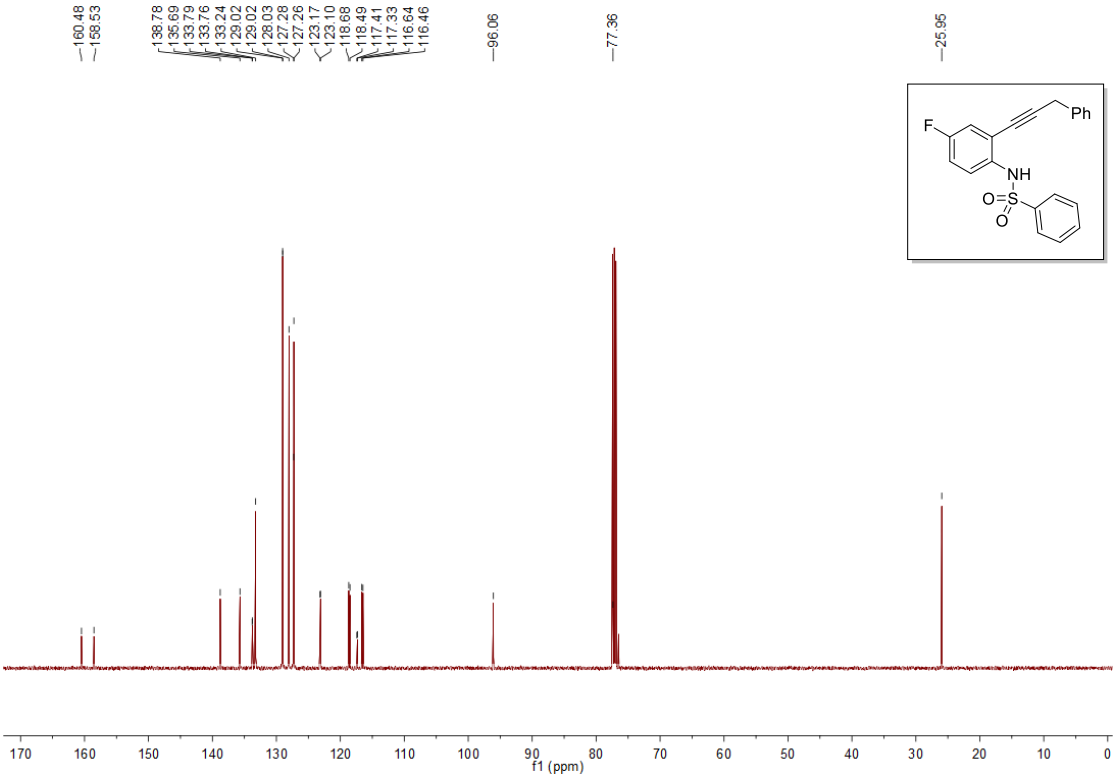
Supplementary Figure 32. ^{13}C NMR Spectrum of 1p (125 MHz, $CDCl_3$)



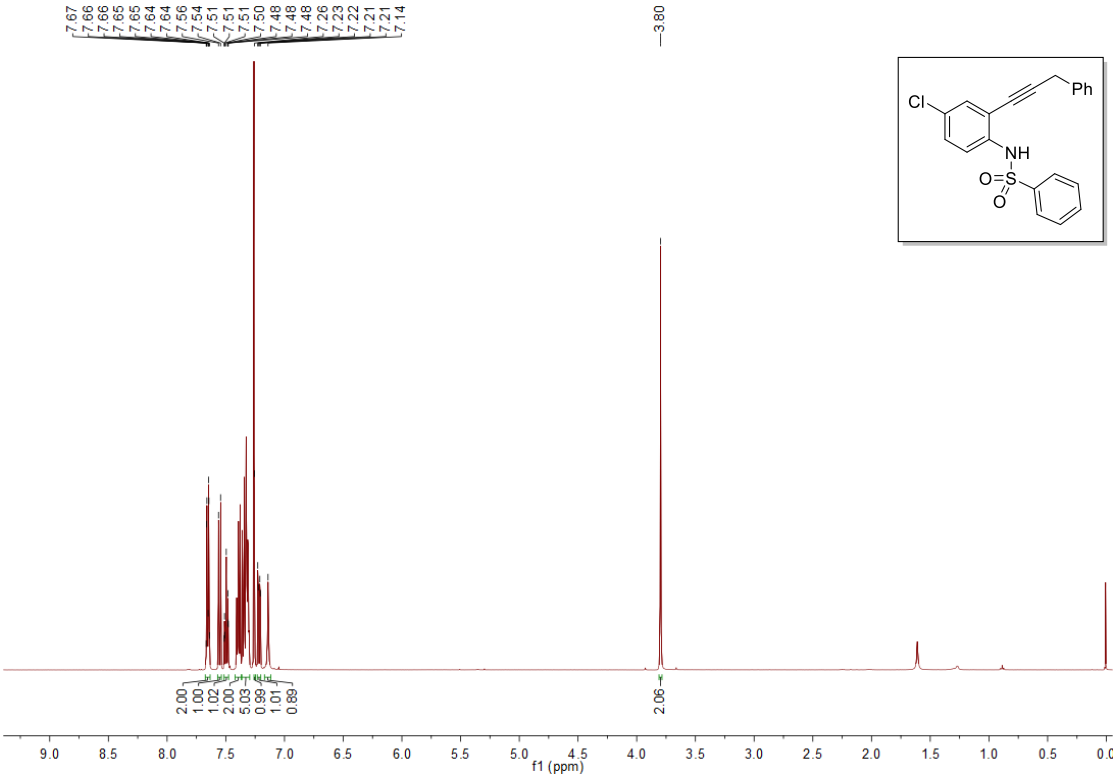
Supplementary Figure 33. ^{1}H NMR Spectrum of 1q (500 MHz, $CDCl_3$)



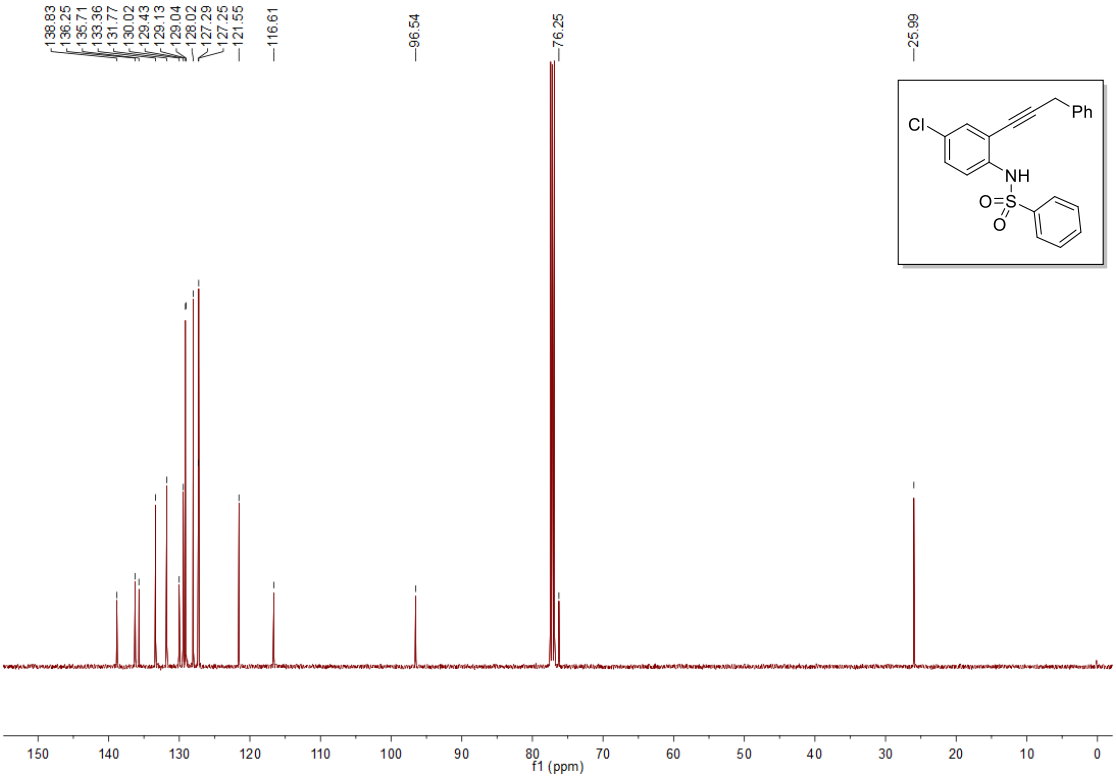
Supplementary Figure 34. ^{13}C NMR Spectrum of 1q (125 MHz, $CDCl_3$)



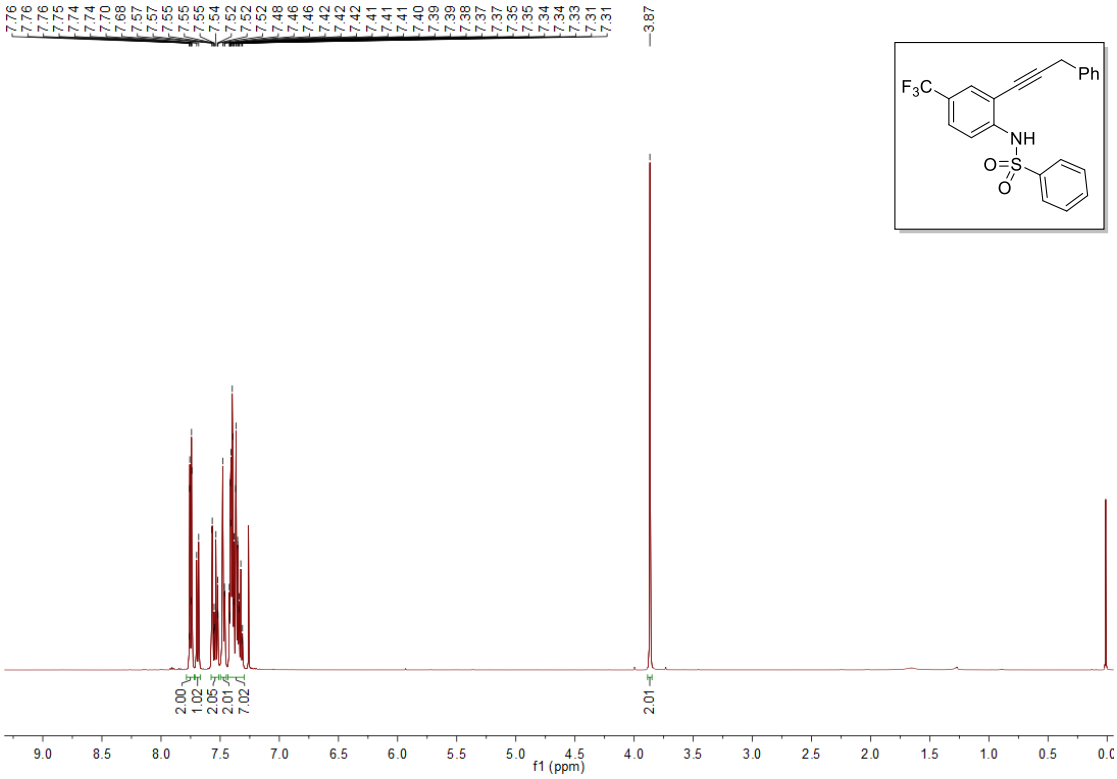
Supplementary Figure 35. ^{1}H NMR Spectrum of 1r (500 MHz, $CDCl_3$)



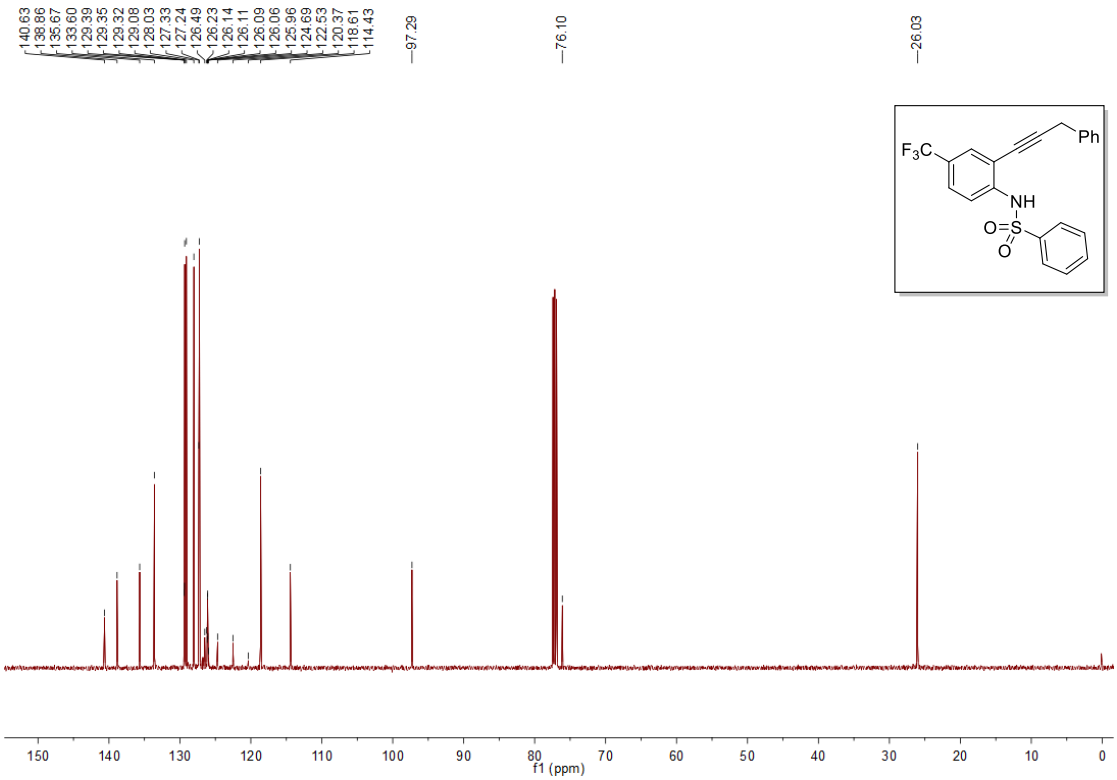
Supplementary Figure 36. ^{13}C NMR Spectrum of 1r (125 MHz, $CDCl_3$)



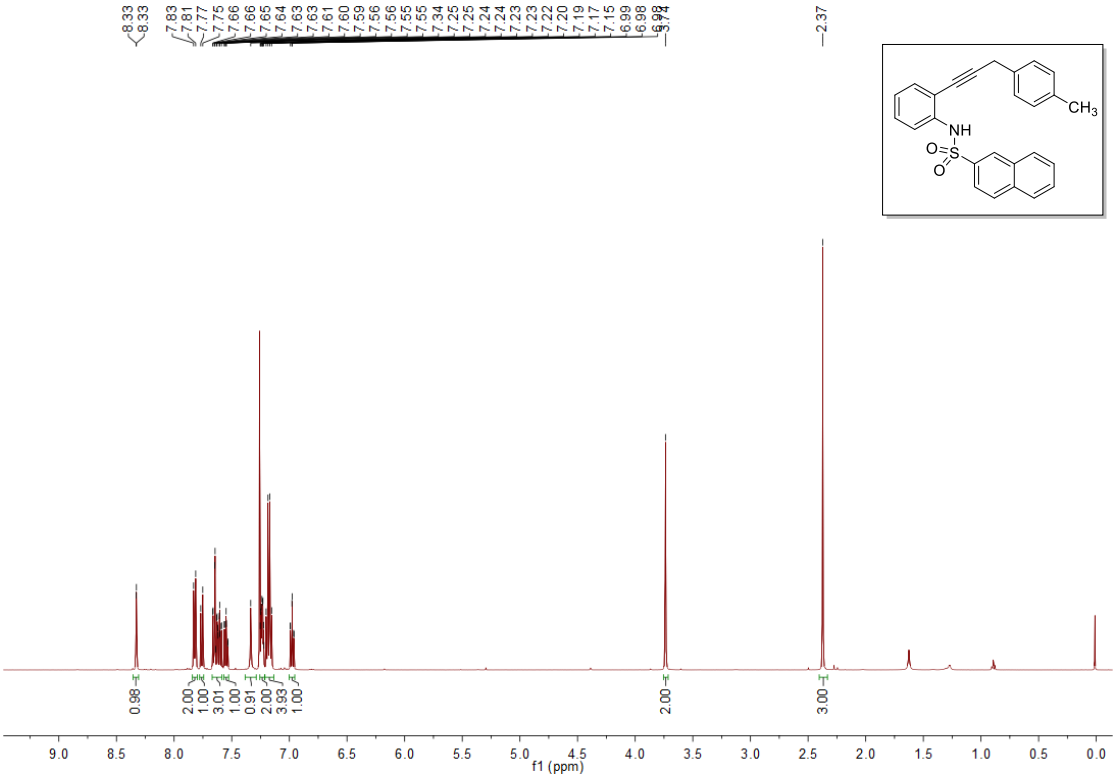
Supplementary Figure 37. ^{1}H NMR Spectrum of 1s (500 MHz, $CDCl_3$)



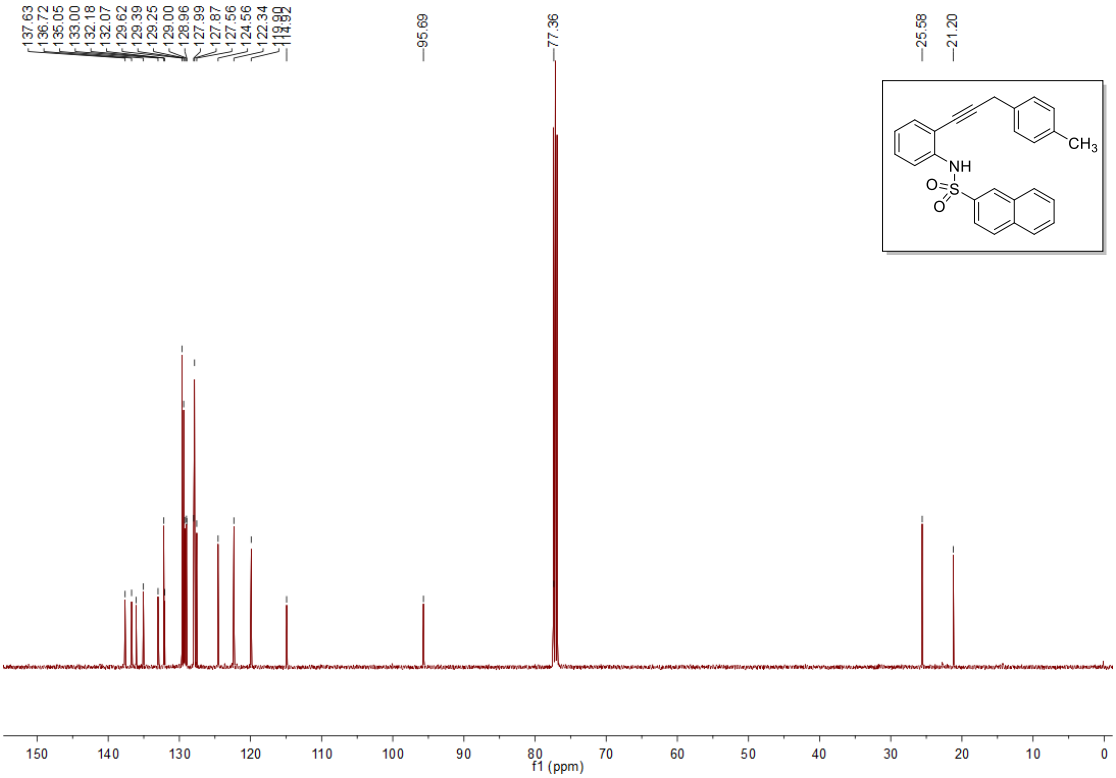
Supplementary Figure 38. ^{13}C NMR Spectrum of 1s (125 MHz, $CDCl_3$)



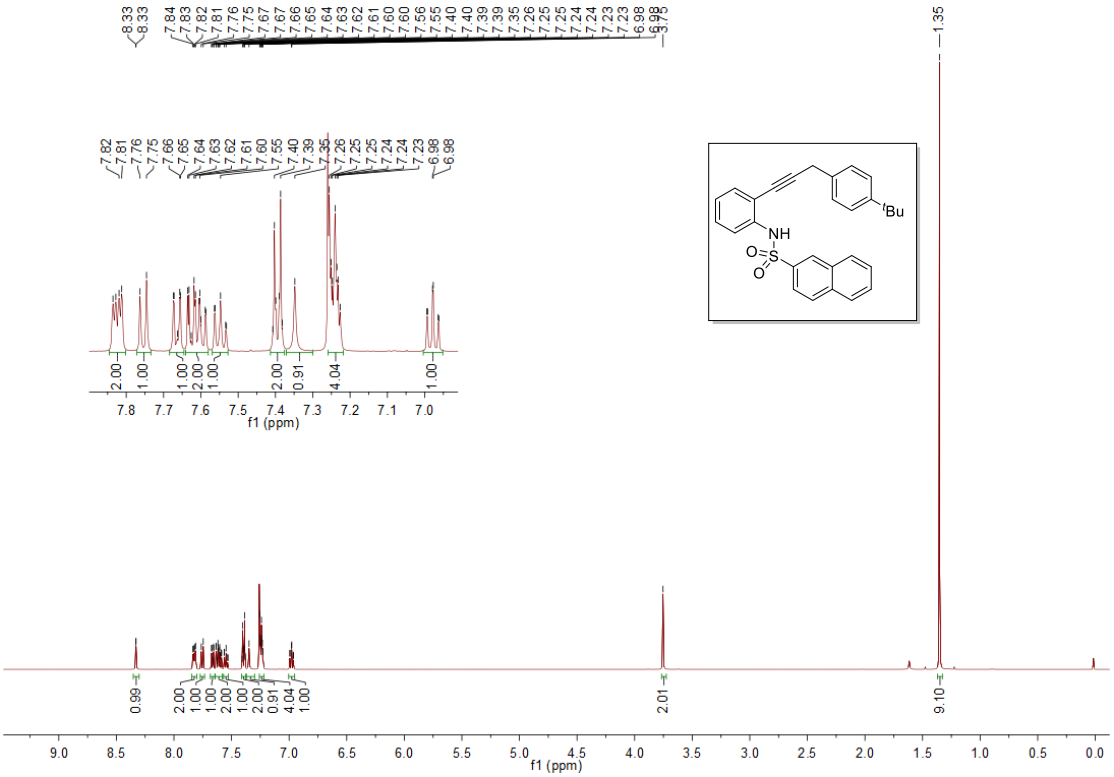
Supplementary Figure 39. ^{1}H NMR Spectrum of 1t (500 MHz, $CDCl_3$)



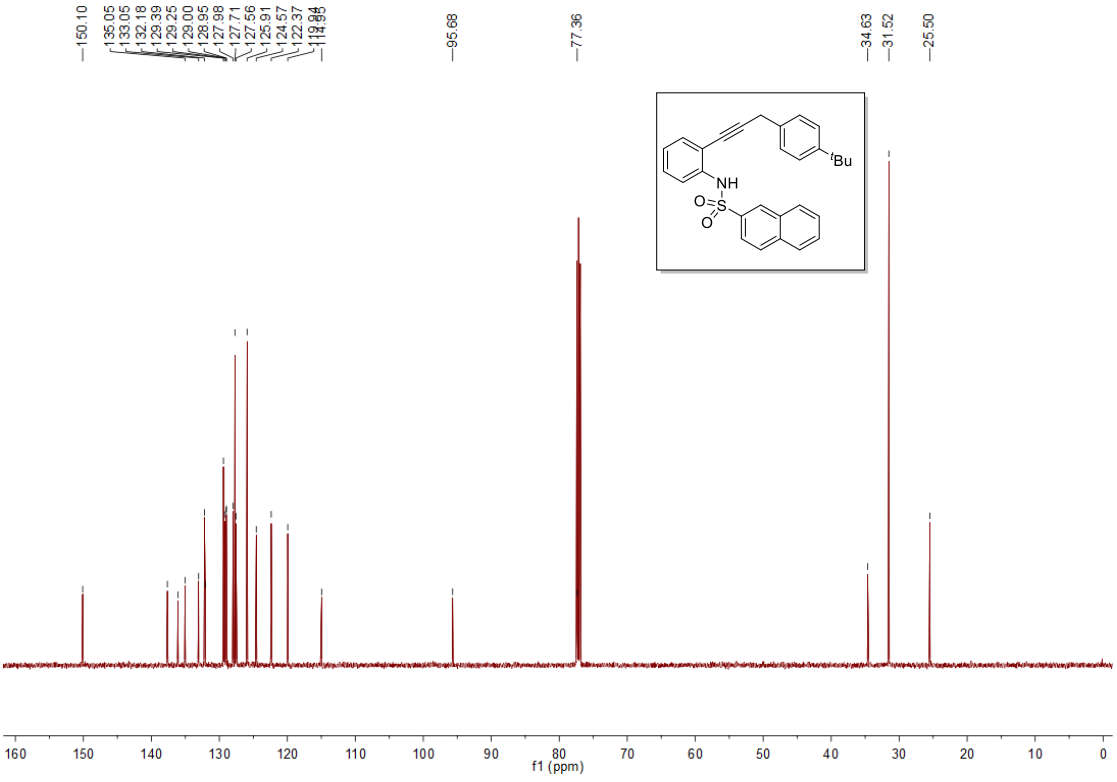
Supplementary Figure 40. ^{13}C NMR Spectrum of 1t (125 MHz, $CDCl_3$)



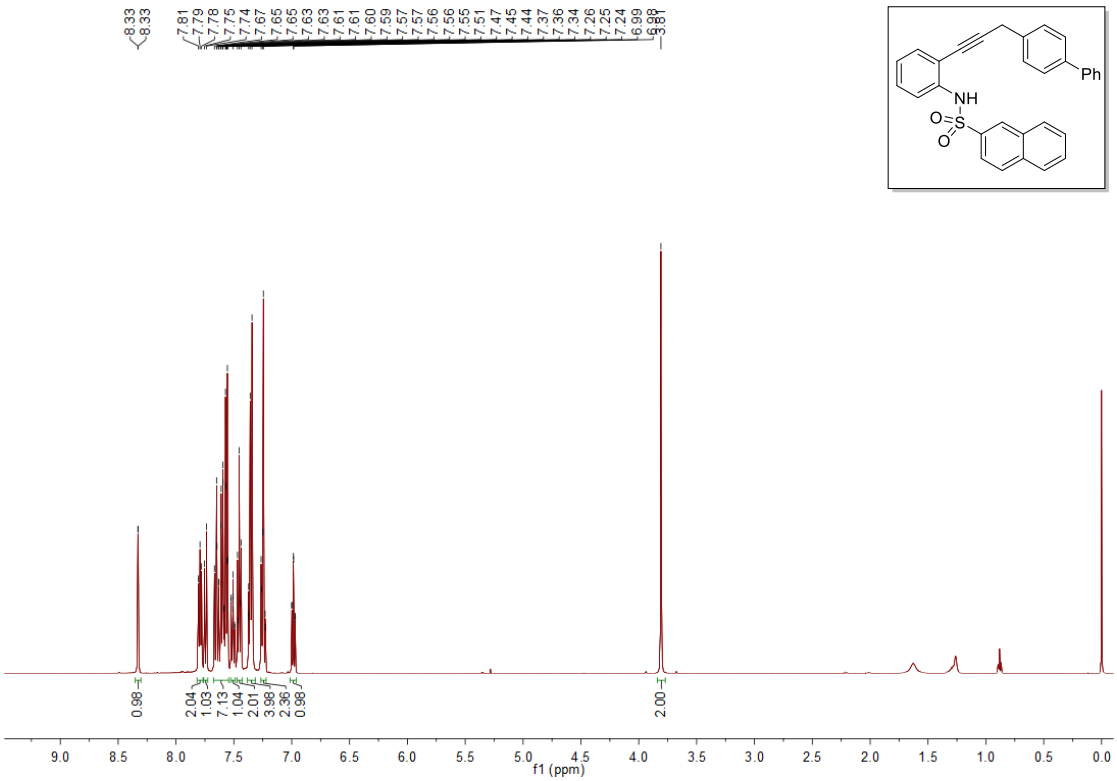
Supplementary Figure 41. ^{1}H NMR Spectrum of 1u (500 MHz, $CDCl_3$)



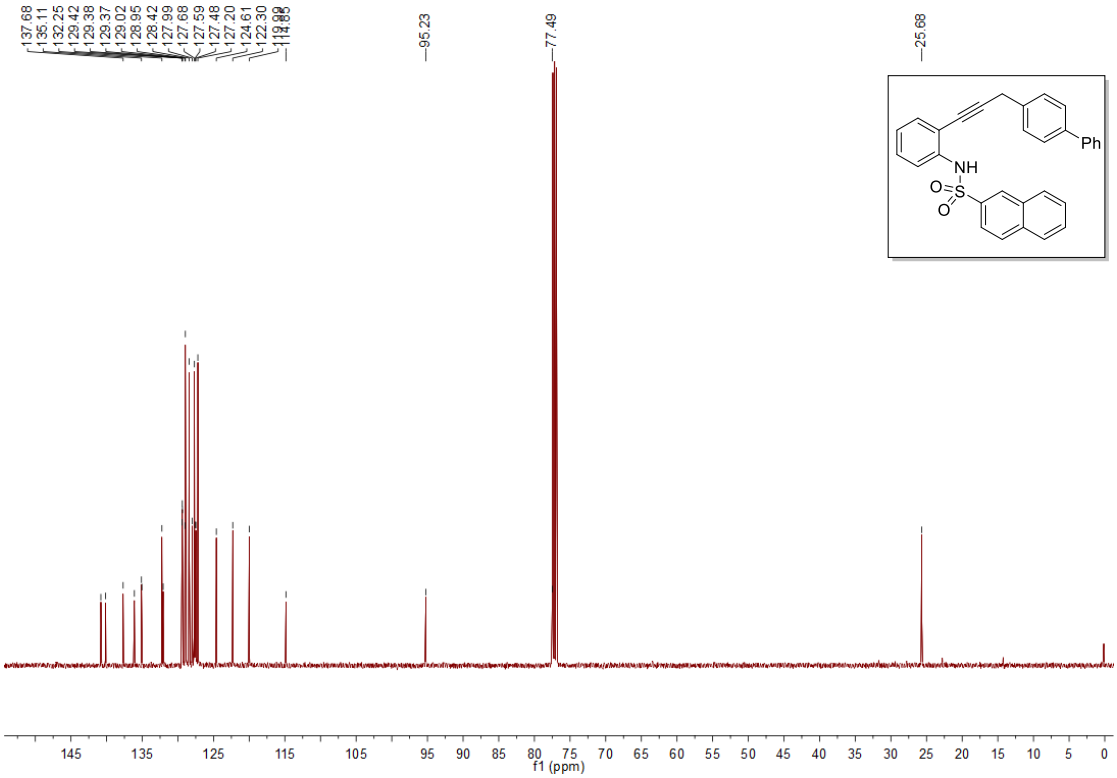
Supplementary Figure 42. ^{13}C NMR Spectrum of 1u (125 MHz, $CDCl_3$)



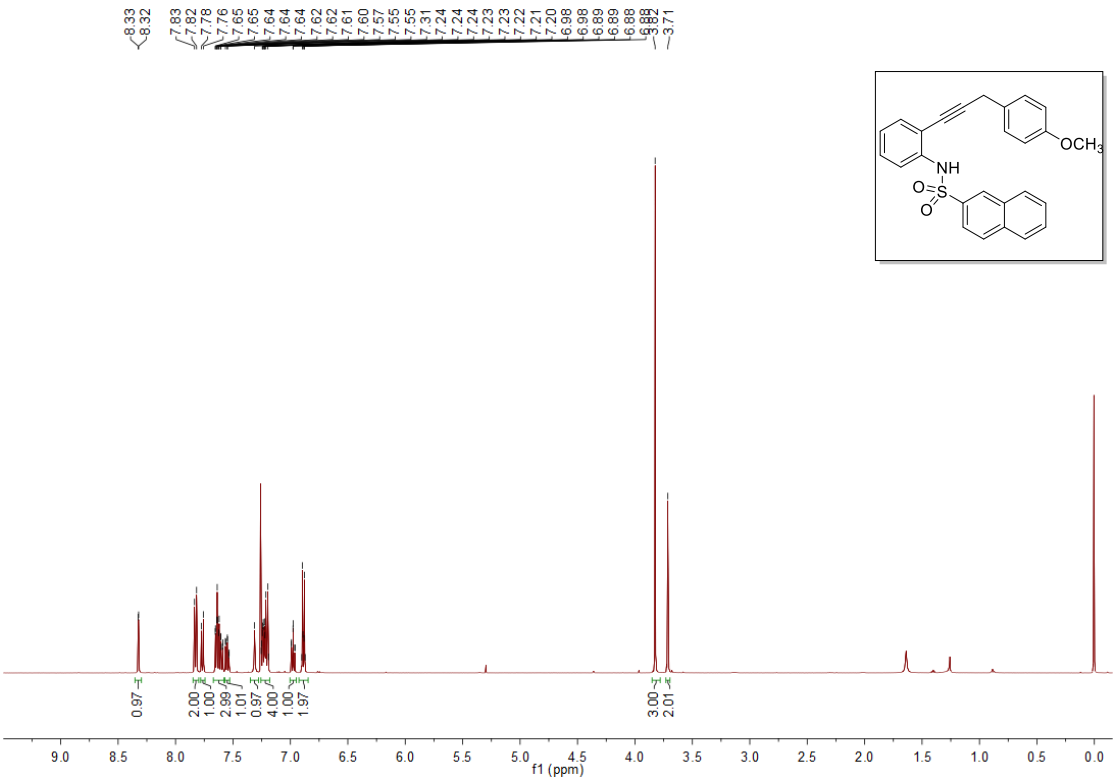
Supplementary Figure 43. ^{1}H NMR Spectrum of 1v (500 MHz, $CDCl_3$)



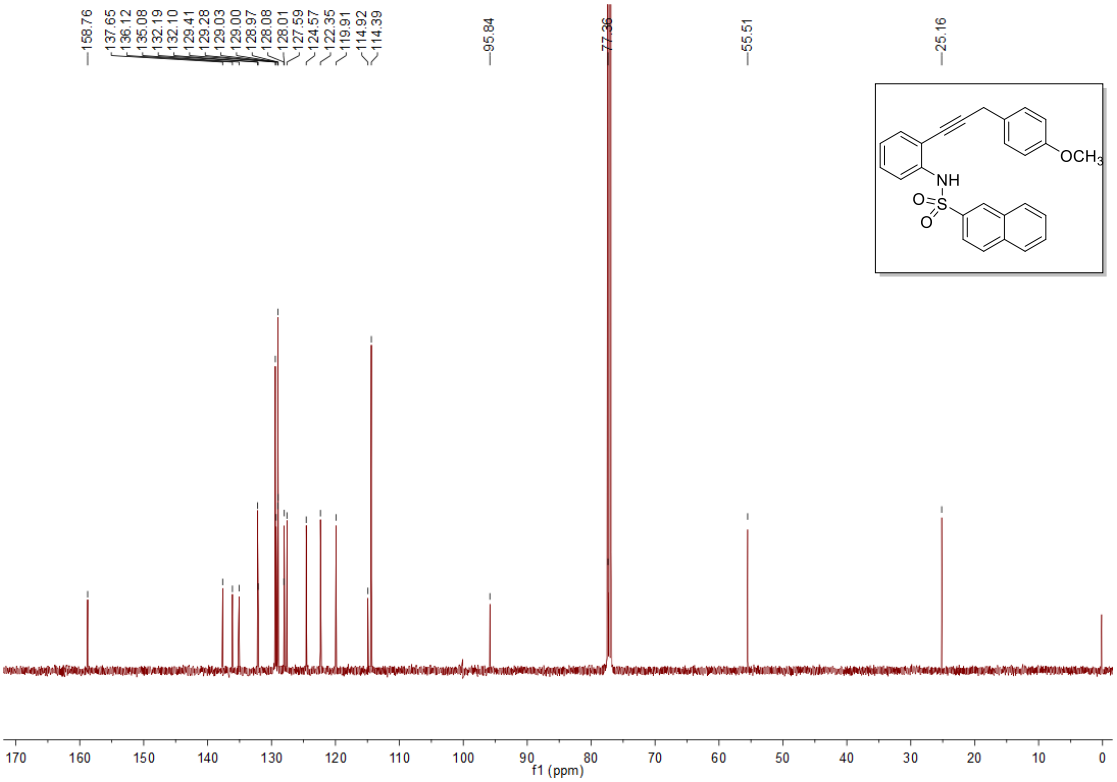
Supplementary Figure 44. ^{13}C NMR Spectrum of 1v (125 MHz, $CDCl_3$)



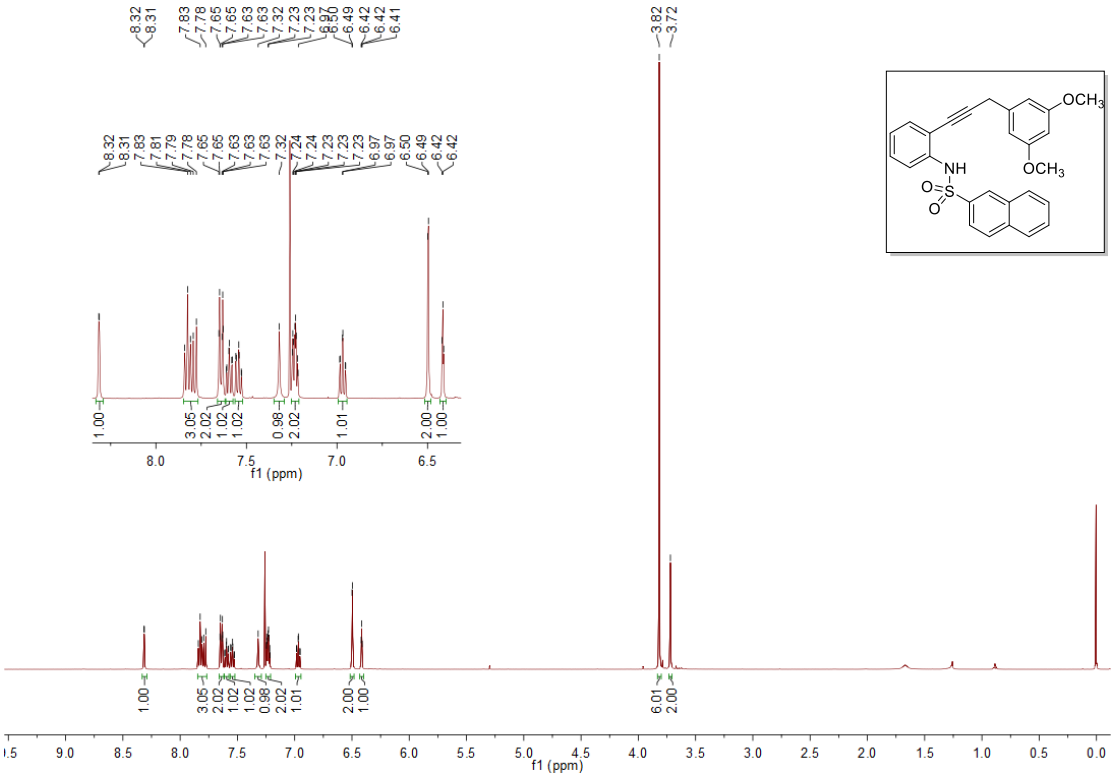
Supplementary Figure 45. ^{1}H NMR Spectrum of 1w (500 MHz, $CDCl_3$)



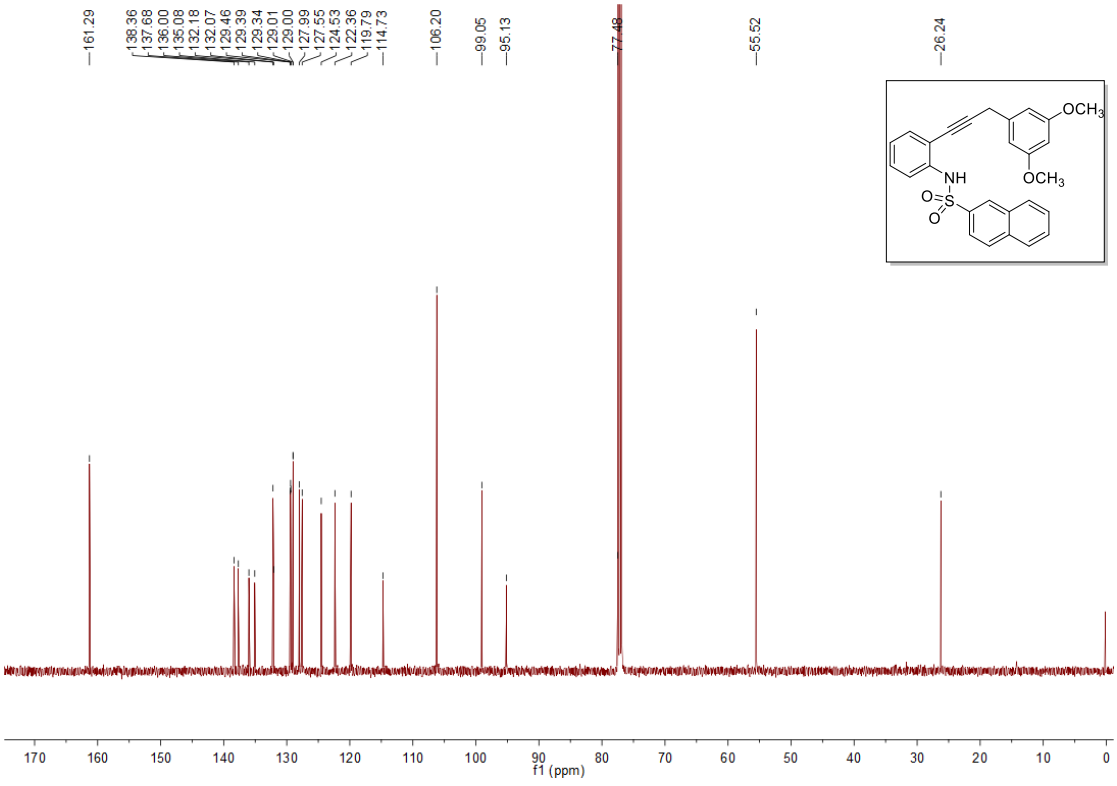
Supplementary Figure 46. ^{13}C NMR Spectrum of 1w (125 MHz, $CDCl_3$)



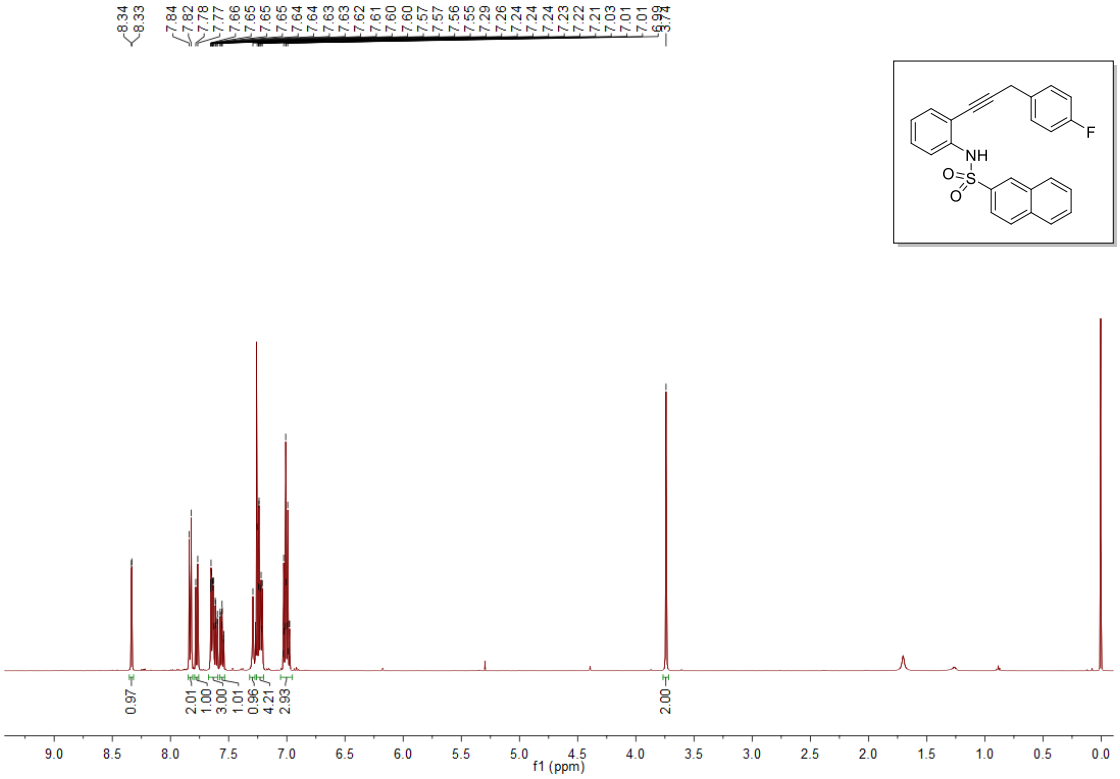
Supplementary Figure 47. ^{1}H NMR Spectrum of 1x (500 MHz, $CDCl_3$)



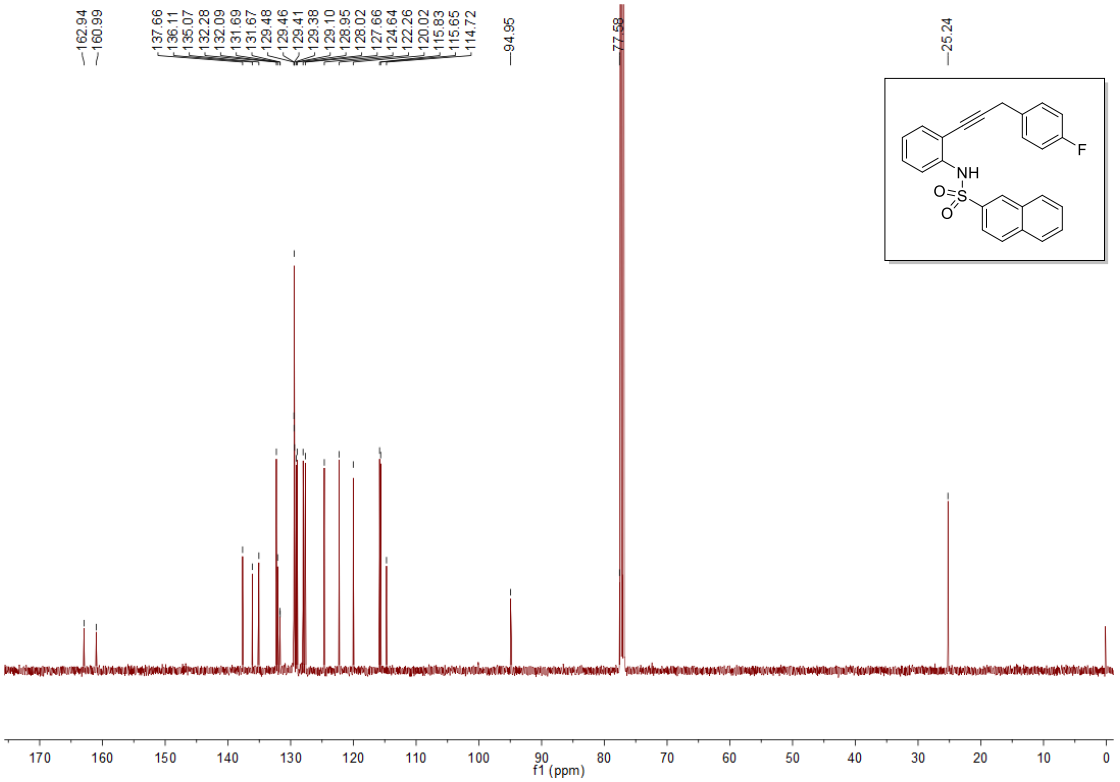
Supplementary Figure 58. ^{13}C NMR Spectrum of 1x (125 MHz, $CDCl_3$)



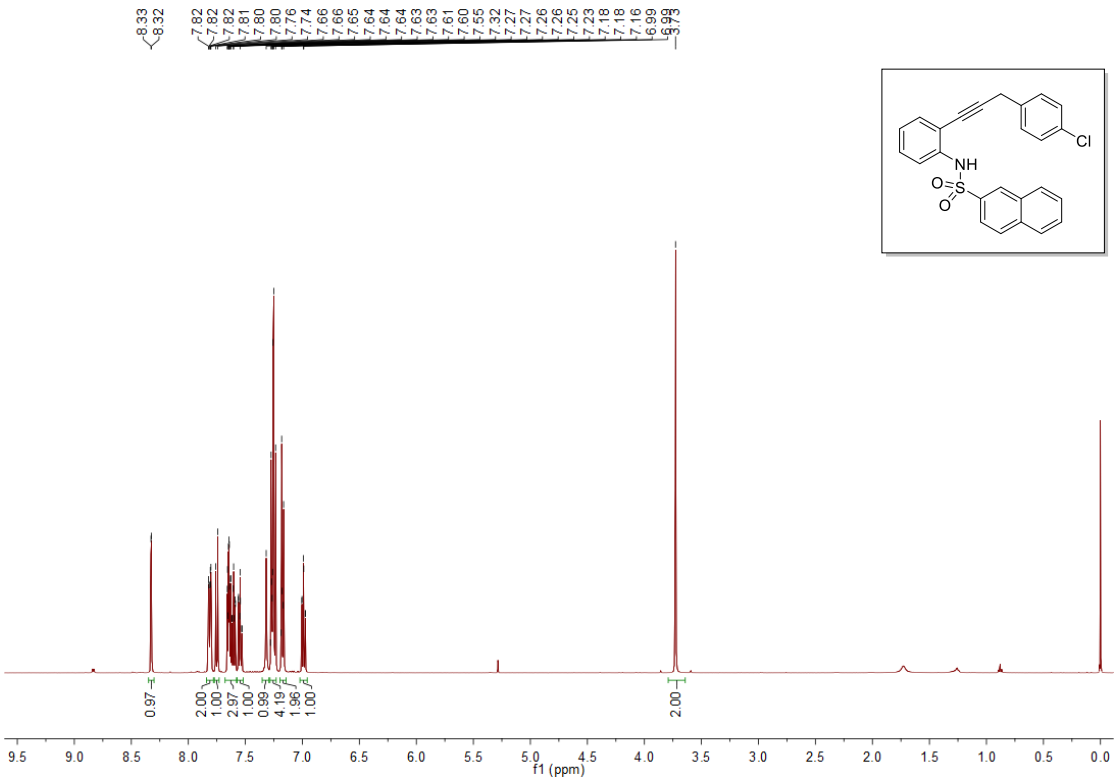
Supplementary Figure 49. ^{1}H NMR Spectrum of 1y (500 MHz, $CDCl_3$)



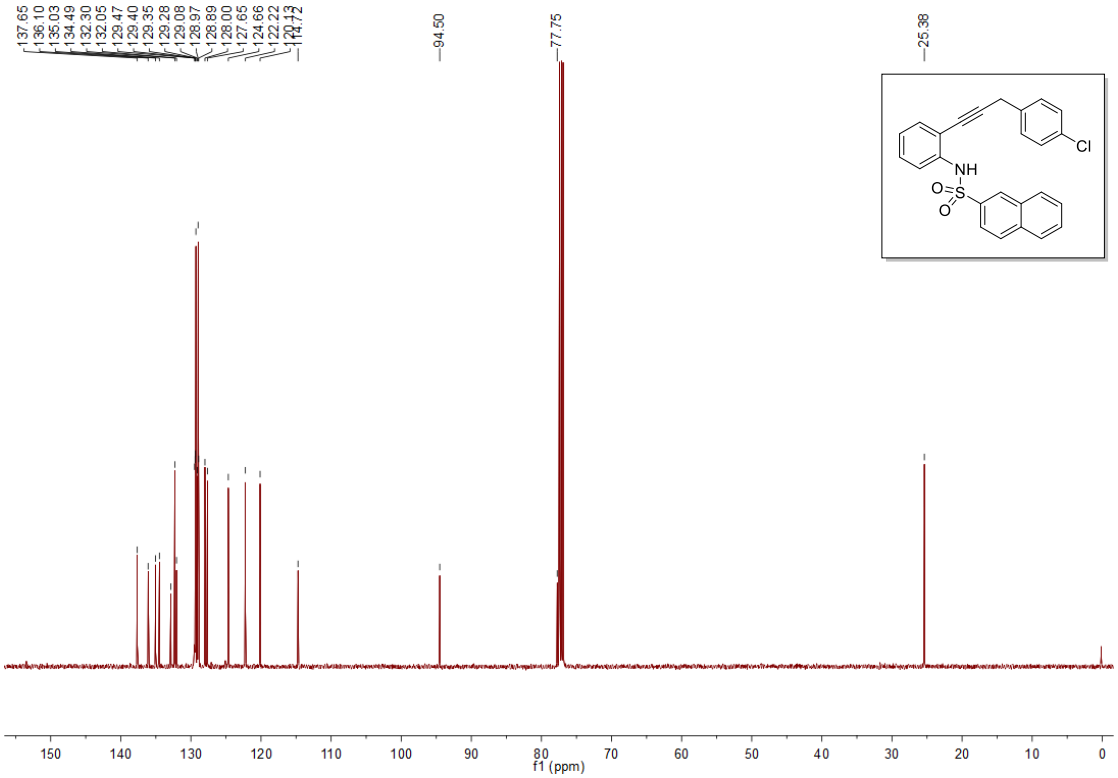
Supplementary Figure 50. ^{13}C NMR Spectrum of 1y (125 MHz, $CDCl_3$)



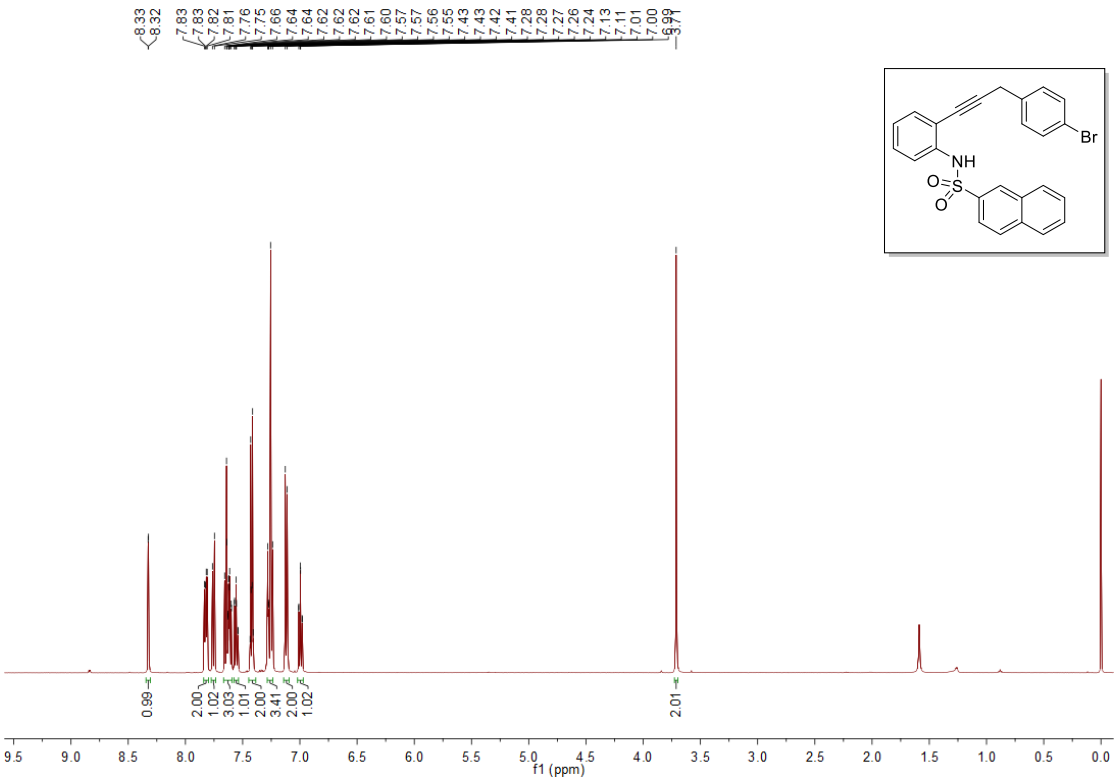
Supplementary Figure 51. ^{1}H NMR Spectrum of 1z (500 MHz, $CDCl_3$)



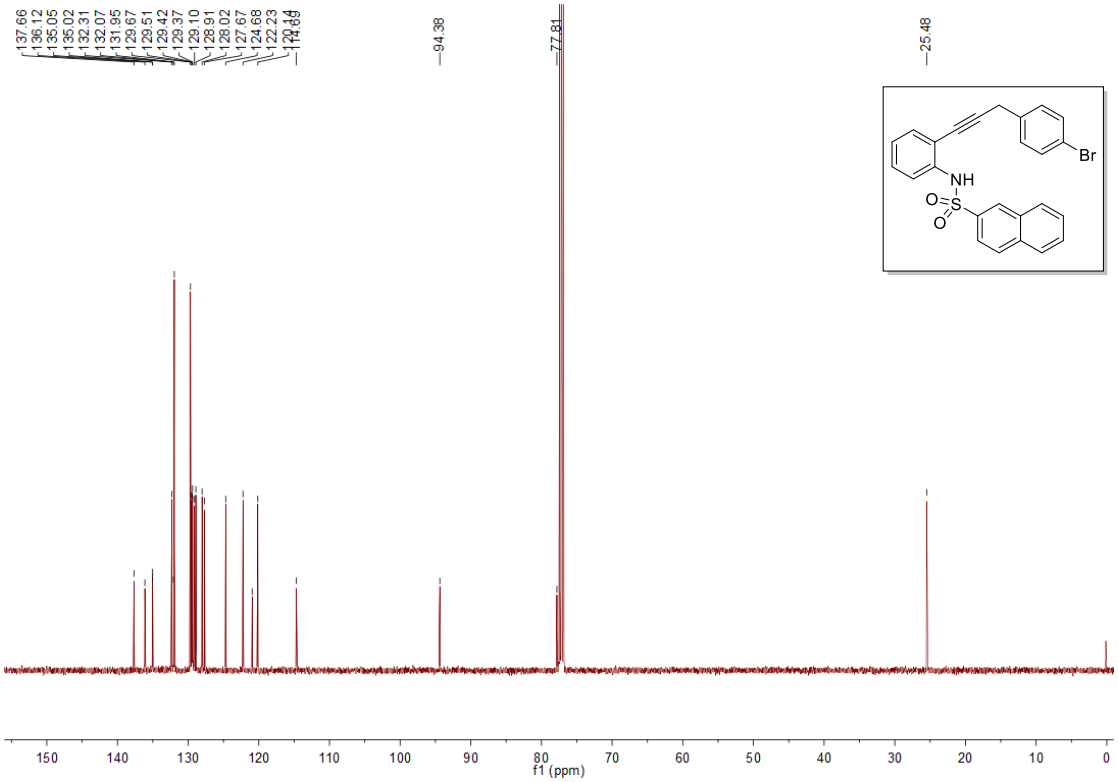
Supplementary Figure 52. ^{13}C NMR Spectrum of 1z (125 MHz, $CDCl_3$)



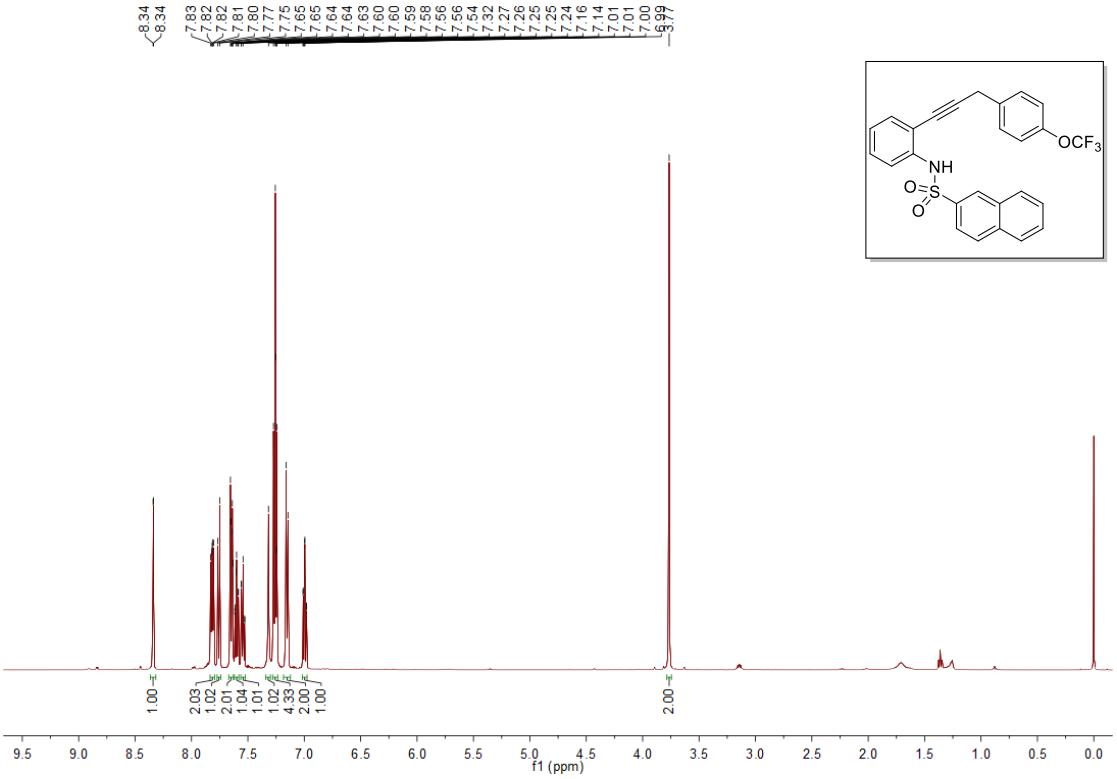
Supplementary Figure 53. ^{1}H NMR Spectrum of 1aa (500 MHz, $CDCl_3$)



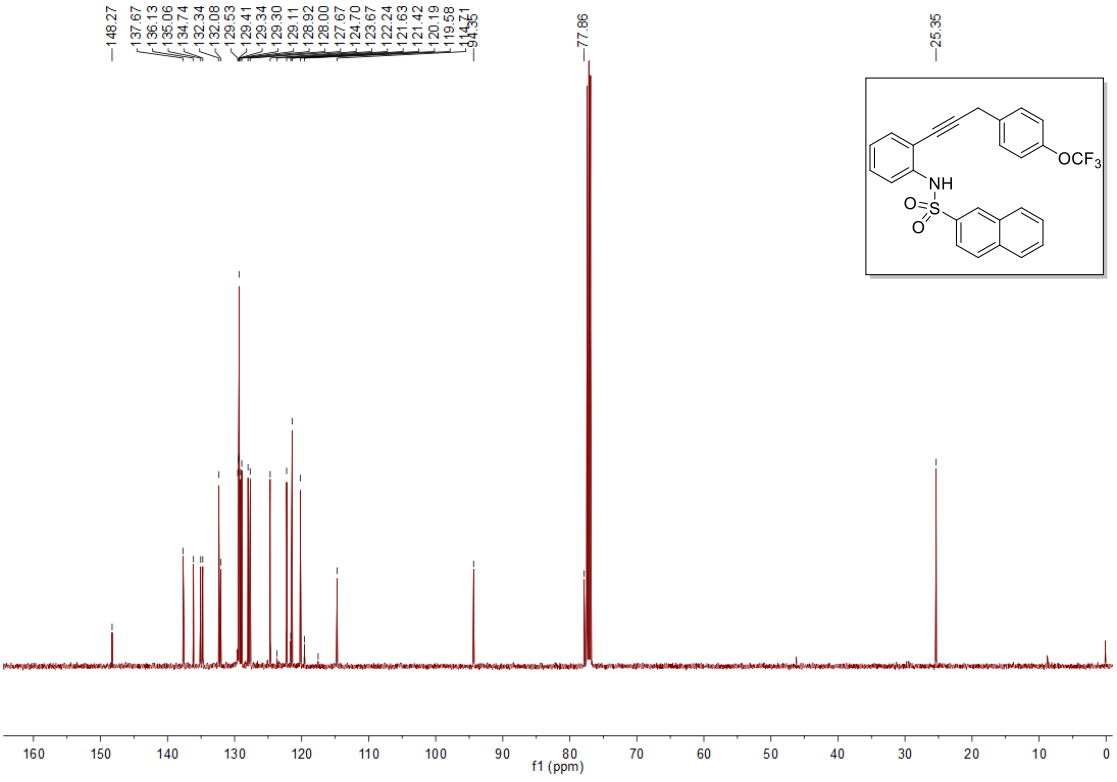
Supplementary Figure 54. ^{13}C NMR Spectrum of 1aa (125 MHz, $CDCl_3$)



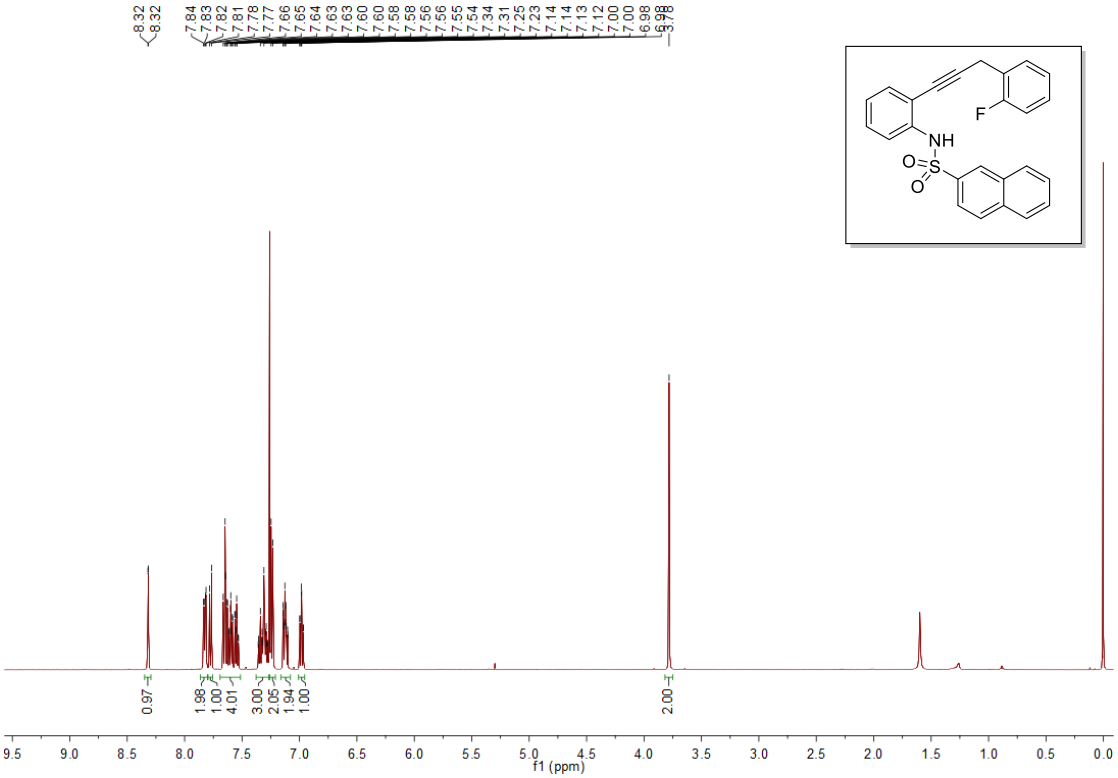
Supplementary Figure 55. ^{1}H NMR Spectrum of 1ab (500 MHz, $CDCl_3$)



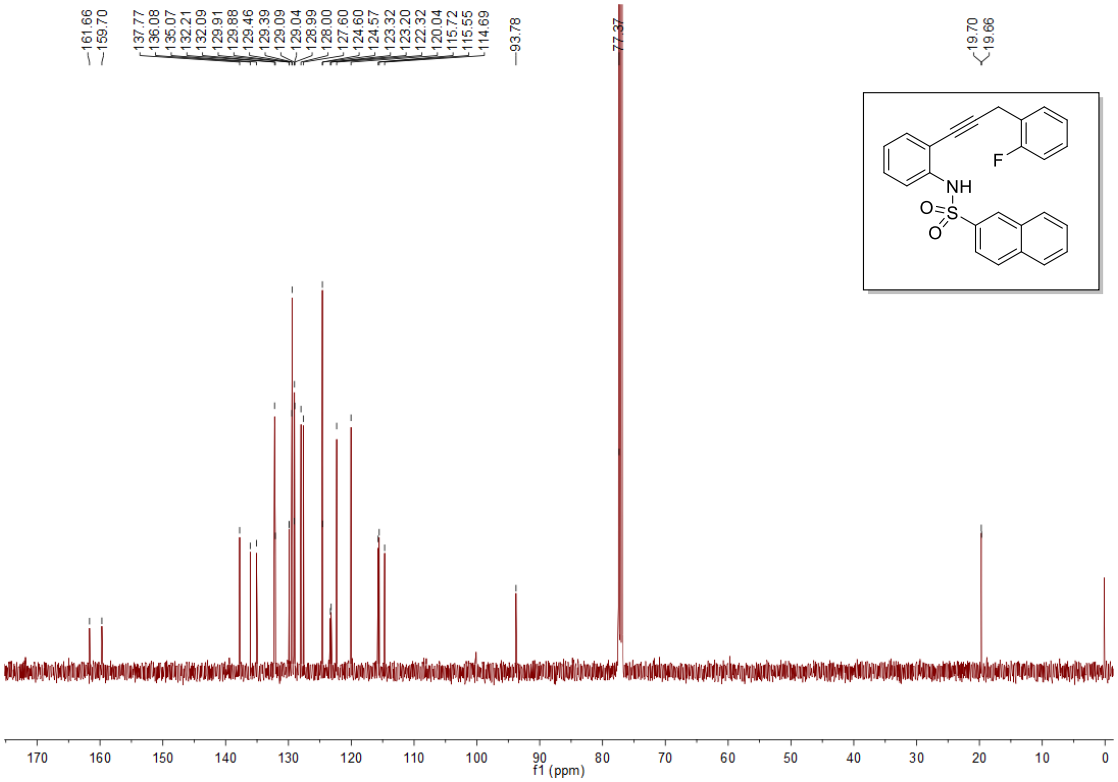
Supplementary Figure 56. ^{13}C NMR Spectrum of 1ab (125 MHz, $CDCl_3$)



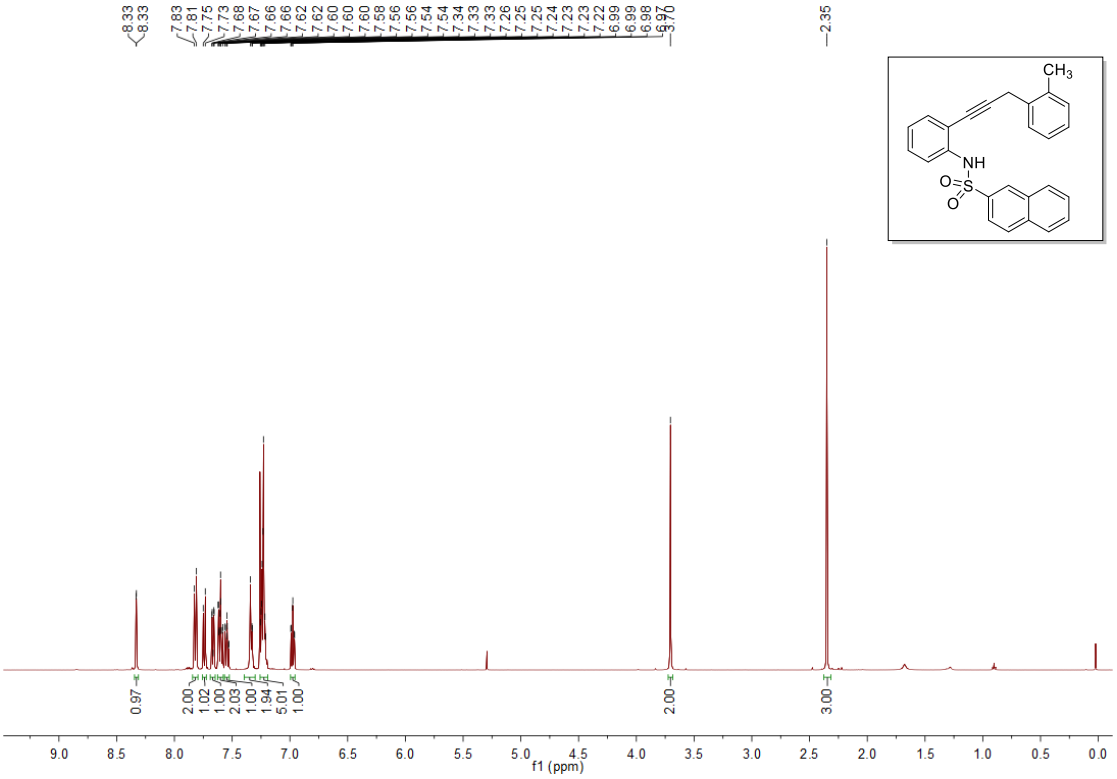
Supplementary Figure 57. ^{1}H NMR Spectrum of 1ac (500 MHz, $CDCl_3$)



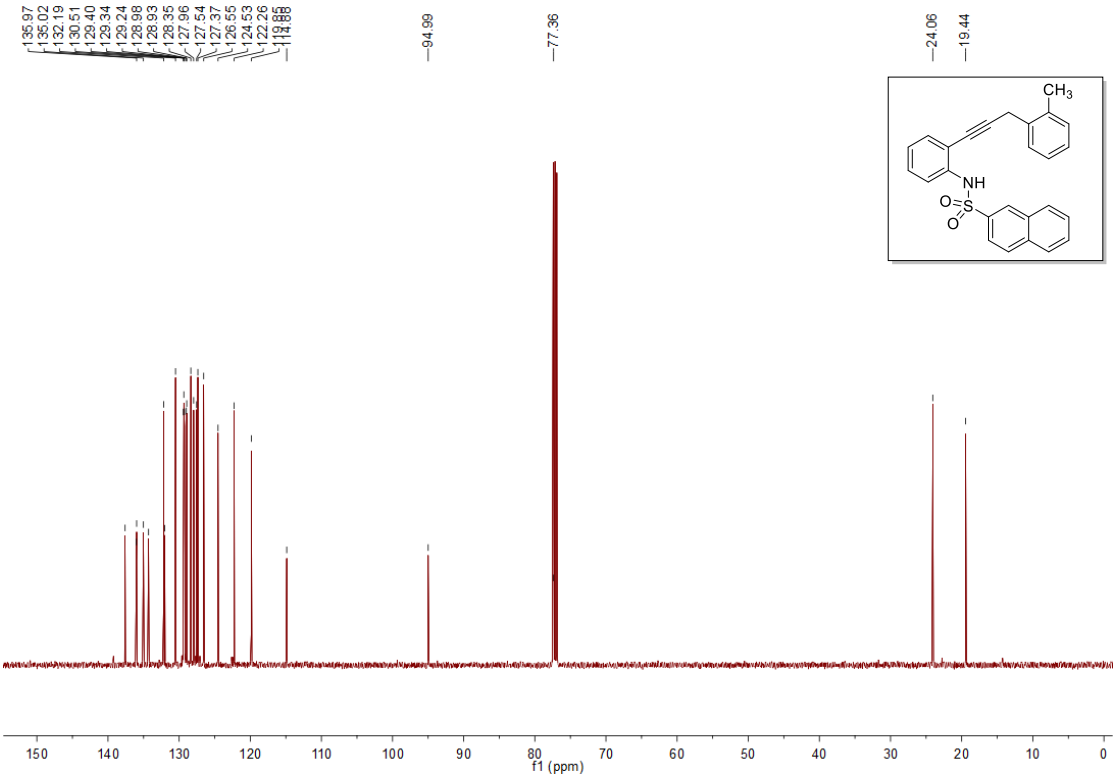
Supplementary Figure 58. ^{13}C NMR Spectrum of 1ac (125 MHz, $CDCl_3$)



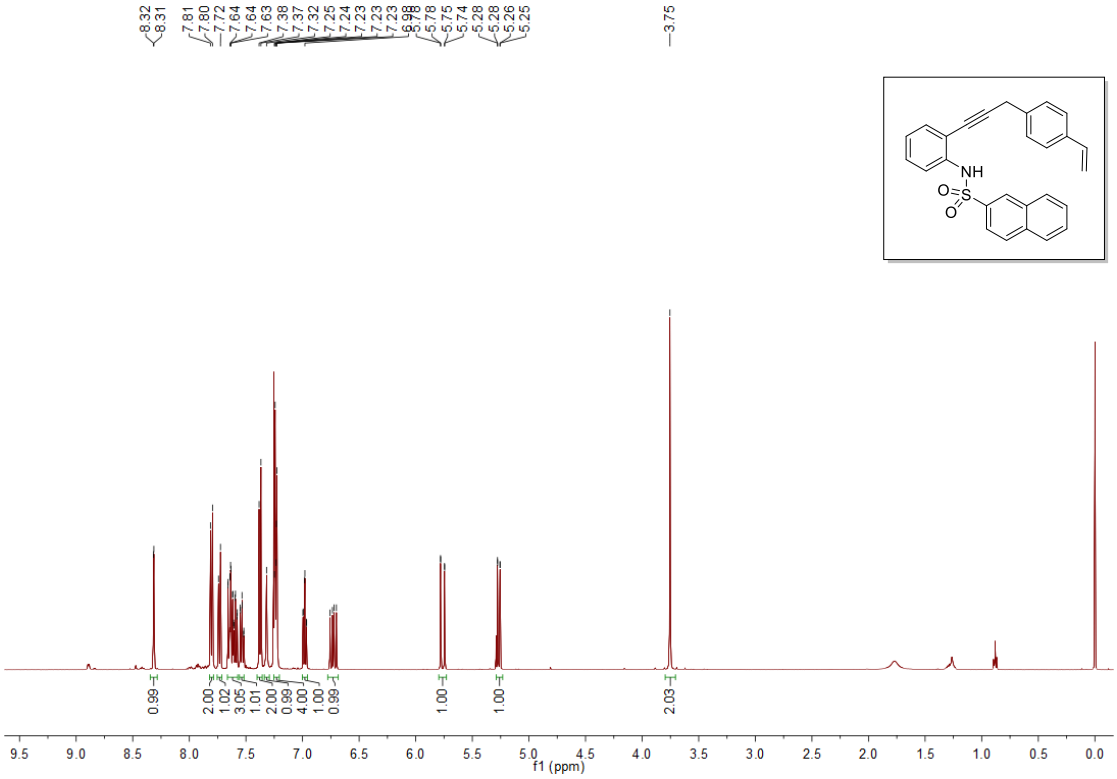
Supplementary Figure 59. ^{1}H NMR Spectrum of 1ad (500 MHz, $CDCl_3$)



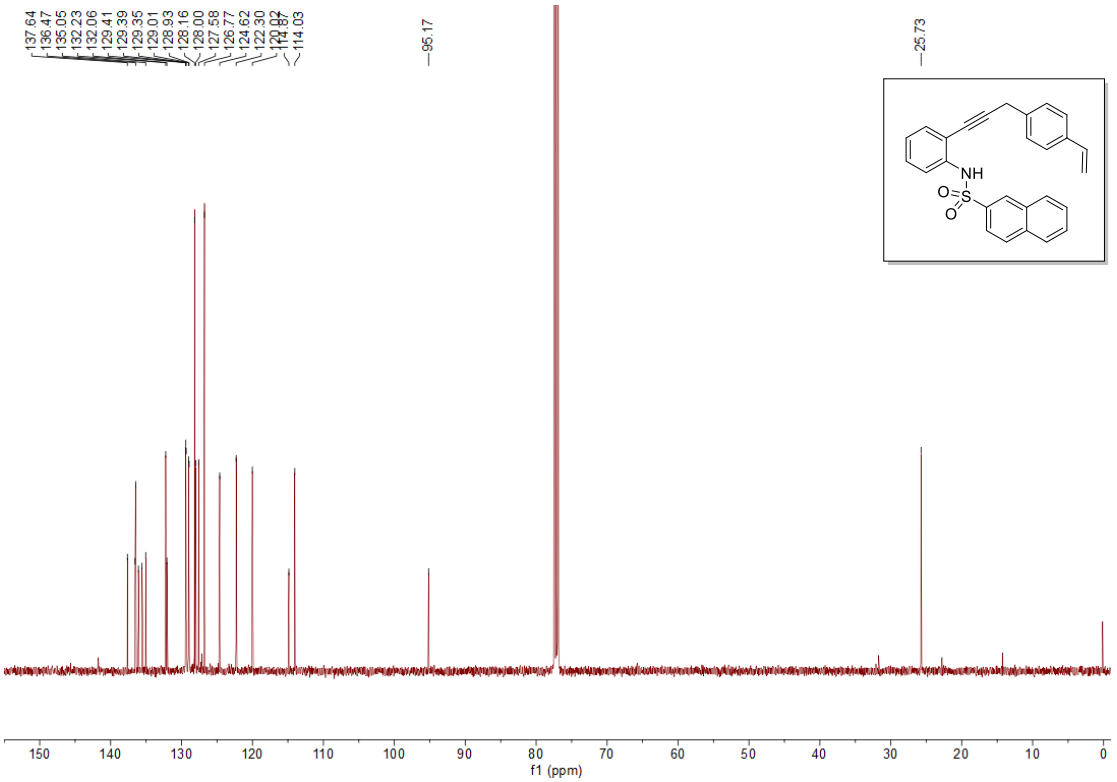
Supplementary Figure 60. ^{13}C NMR Spectrum of 1ad (125 MHz, $CDCl_3$)



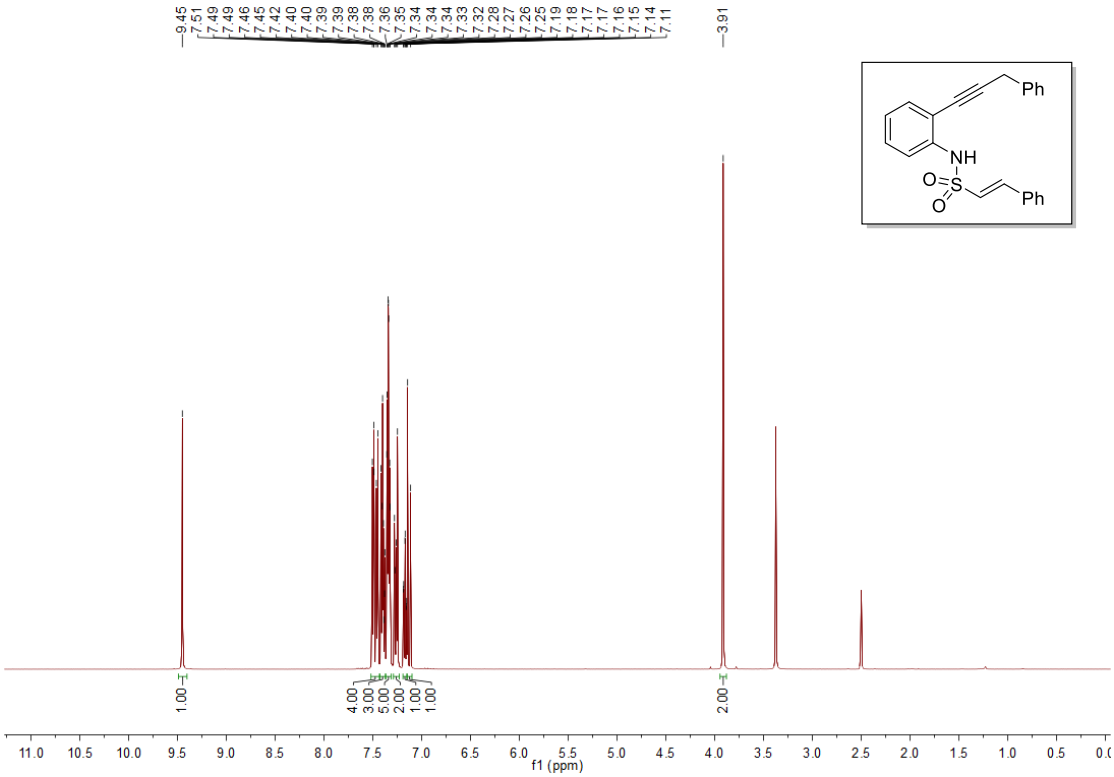
Supplementary Figure 61. ^{1}H NMR Spectrum of 1ae (500 MHz, $CDCl_3$)



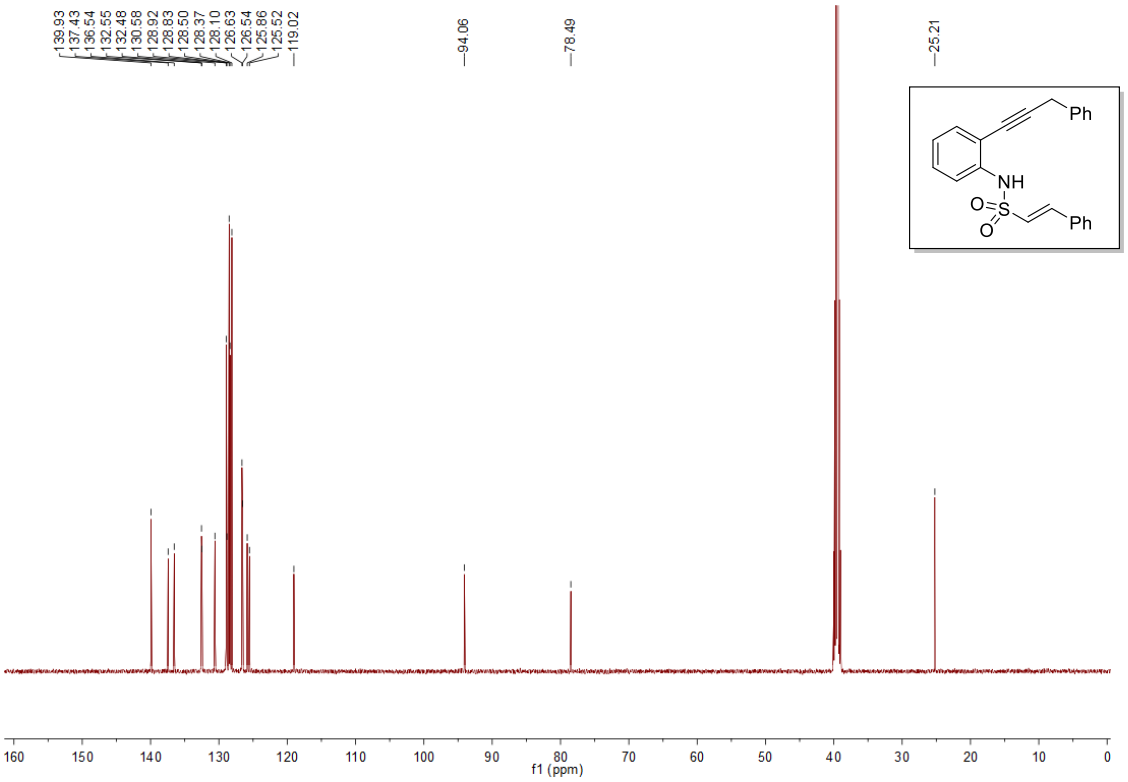
Supplementary Figure 62. ^{13}C NMR Spectrum of 1ae (125 MHz, $CDCl_3$)



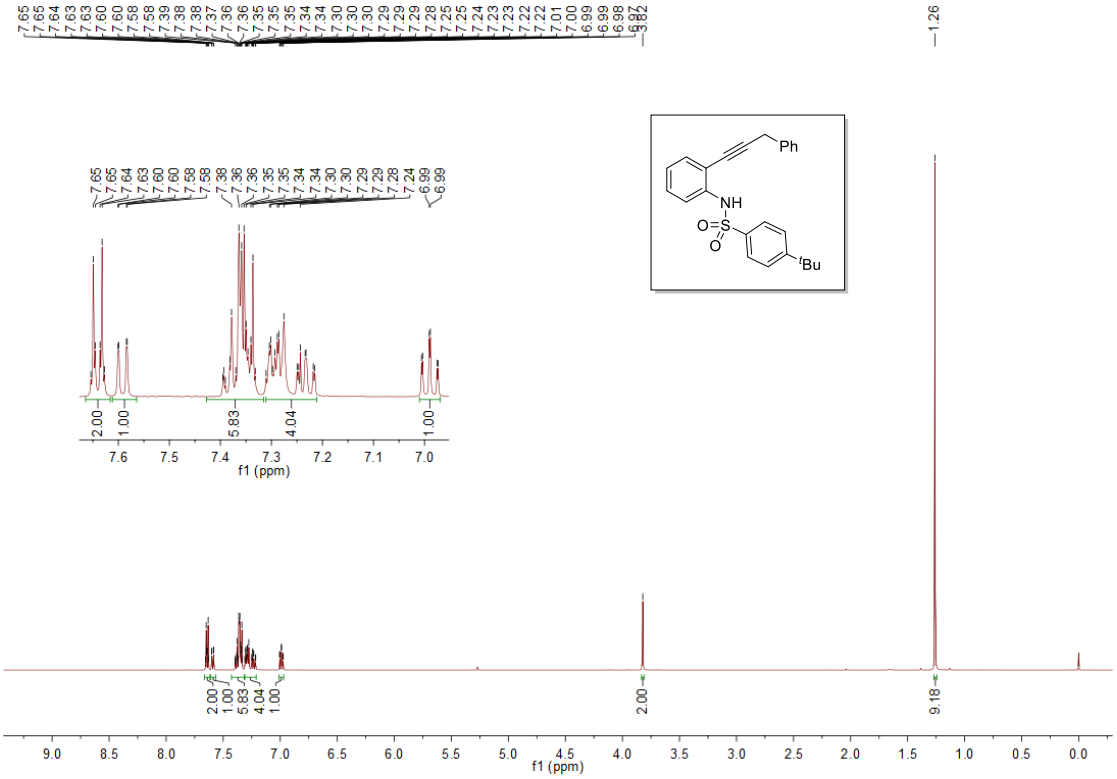
Supplementary Figure 63. ^{1}H NMR Spectrum of 1aE (500 MHz, DMSO–d_6)



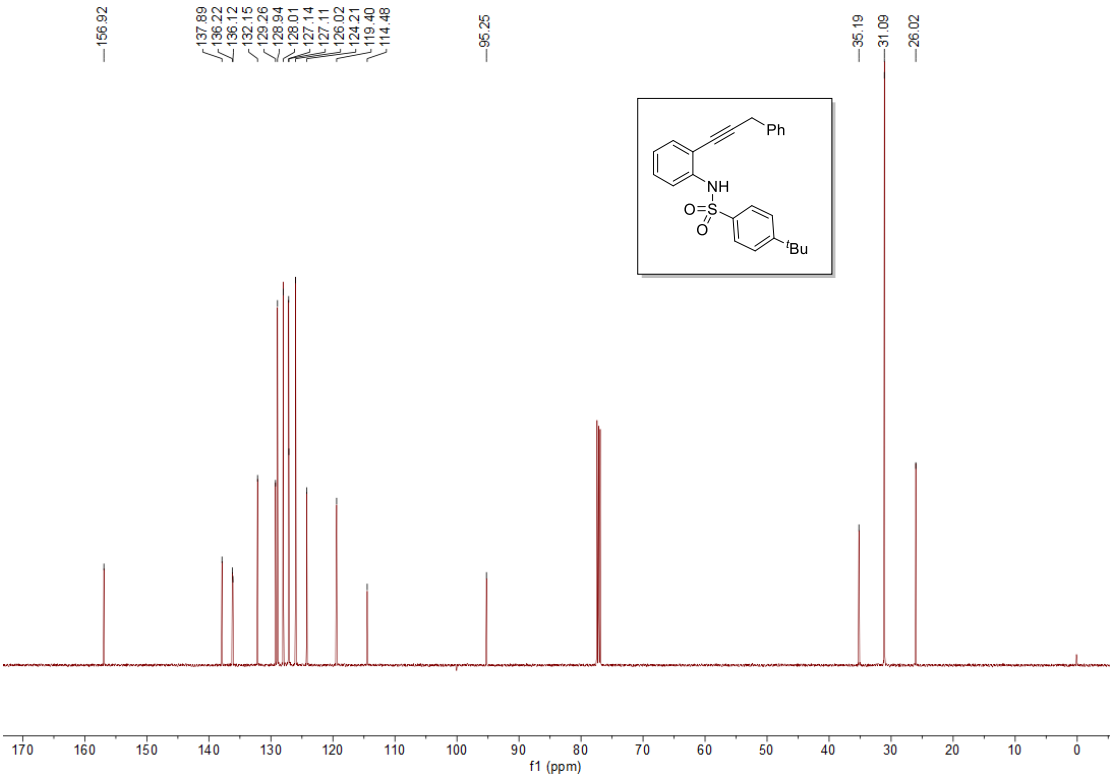
Supplementary Figure 64. ^{13}C NMR Spectrum of 1aE (125 MHz, DMSO–d_6)



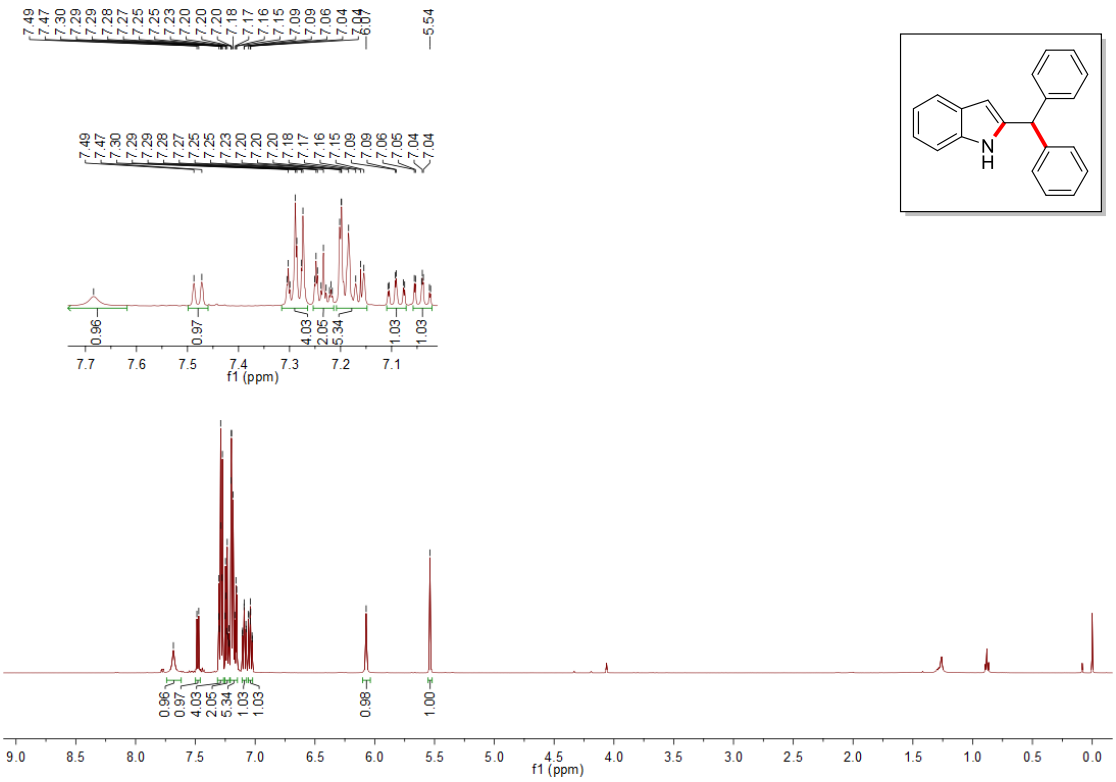
Supplementary Figure 65. ^{1}H NMR Spectrum of 1D (500 MHz, $CDCl_3$)



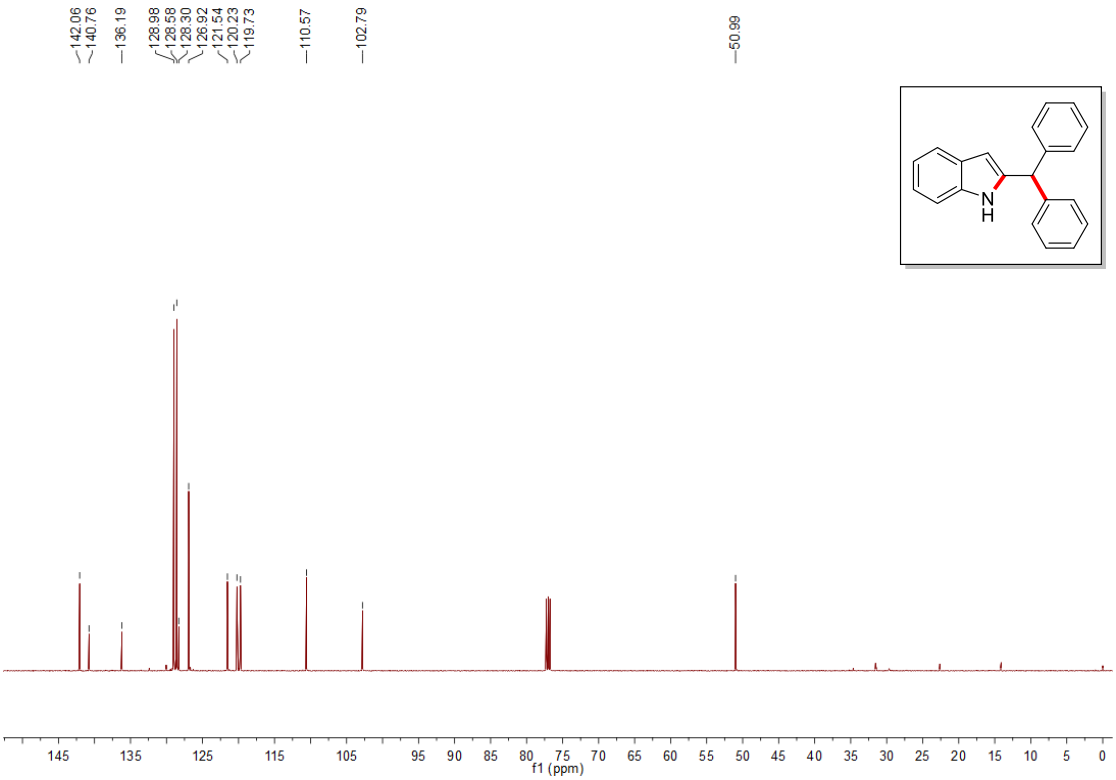
Supplementary Figure 66. ^{13}C NMR Spectrum of 1D (125 MHz, $CDCl_3$)



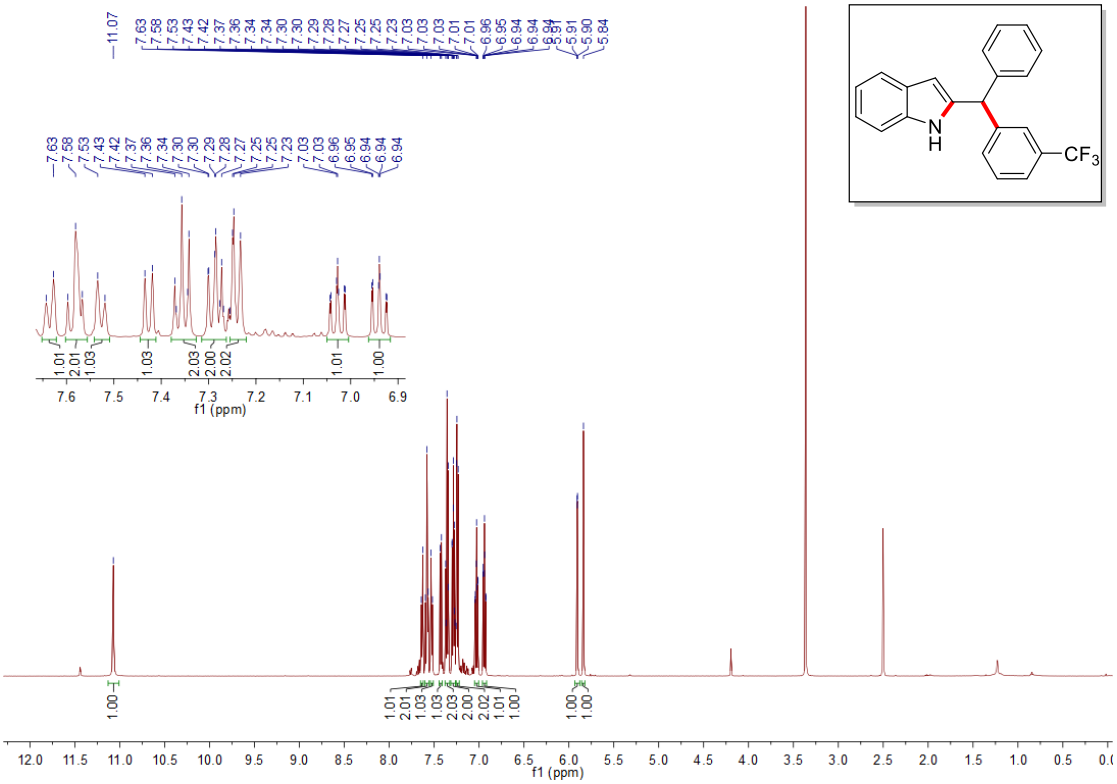
Supplementary Figure 67. ^{1}H NMR Spectrum of 2a (500 MHz, $CDCl_3$)



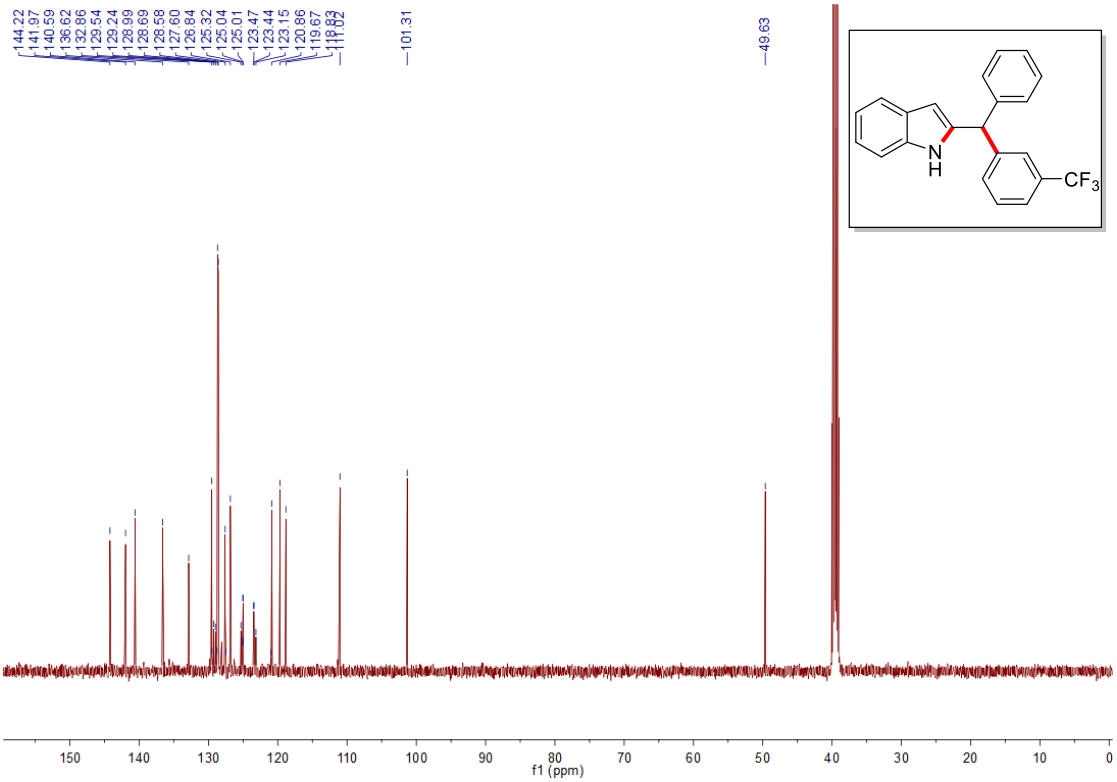
Supplementary Figure 68. ^{13}C NMR Spectrum of 2a (125 MHz, $CDCl_3$)



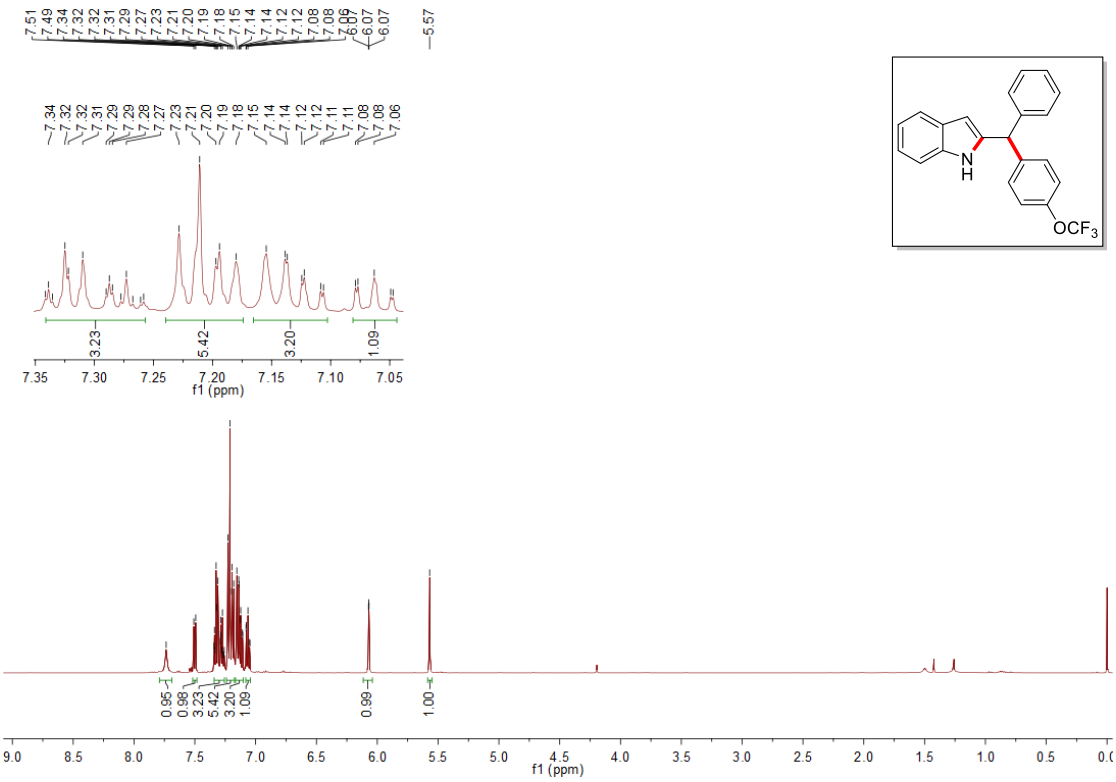
Supplementary Figure 69. ^{1}H NMR Spectrum of 2b (500 MHz, DMSO–*d*$_6$)



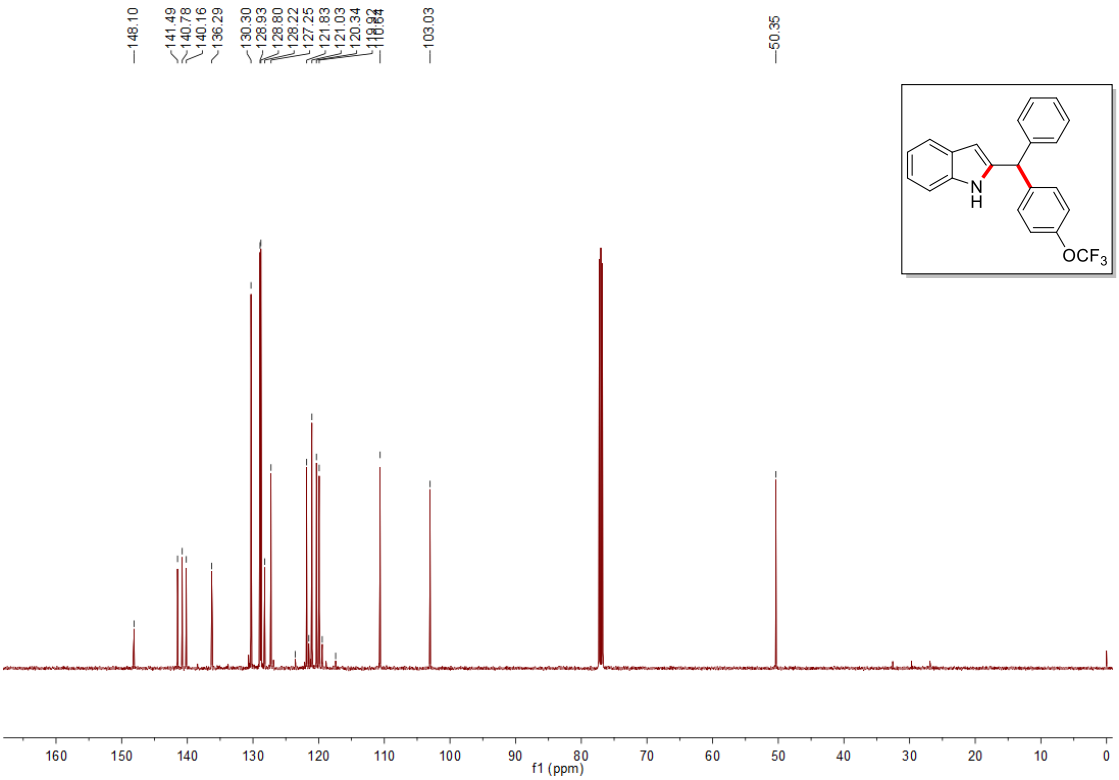
Supplementary Figure 70. ^{13}C NMR Spectrum of 2b (125 MHz, DMSO–*d*$_6$)



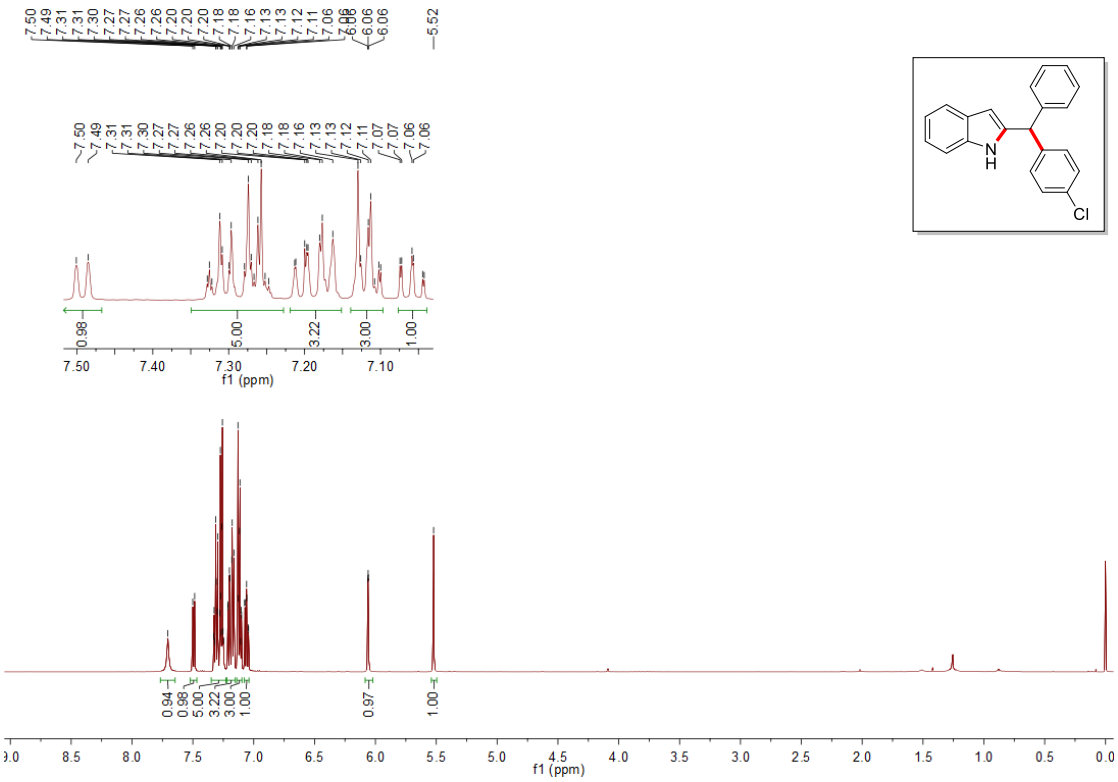
Supplementary Figure 71. ^{1}H NMR Spectrum of 2c (500 MHz, $CDCl_3$)



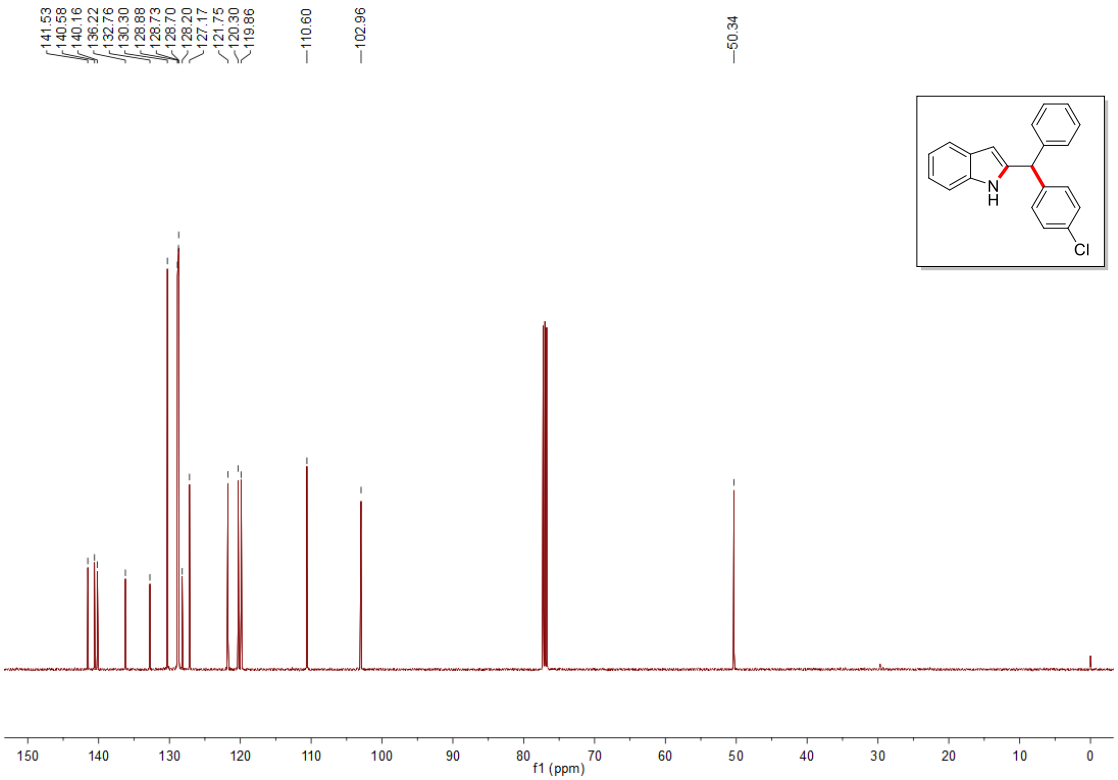
Supplementary Figure 72. ^{13}C NMR Spectrum of 2c (125 MHz, $CDCl_3$)



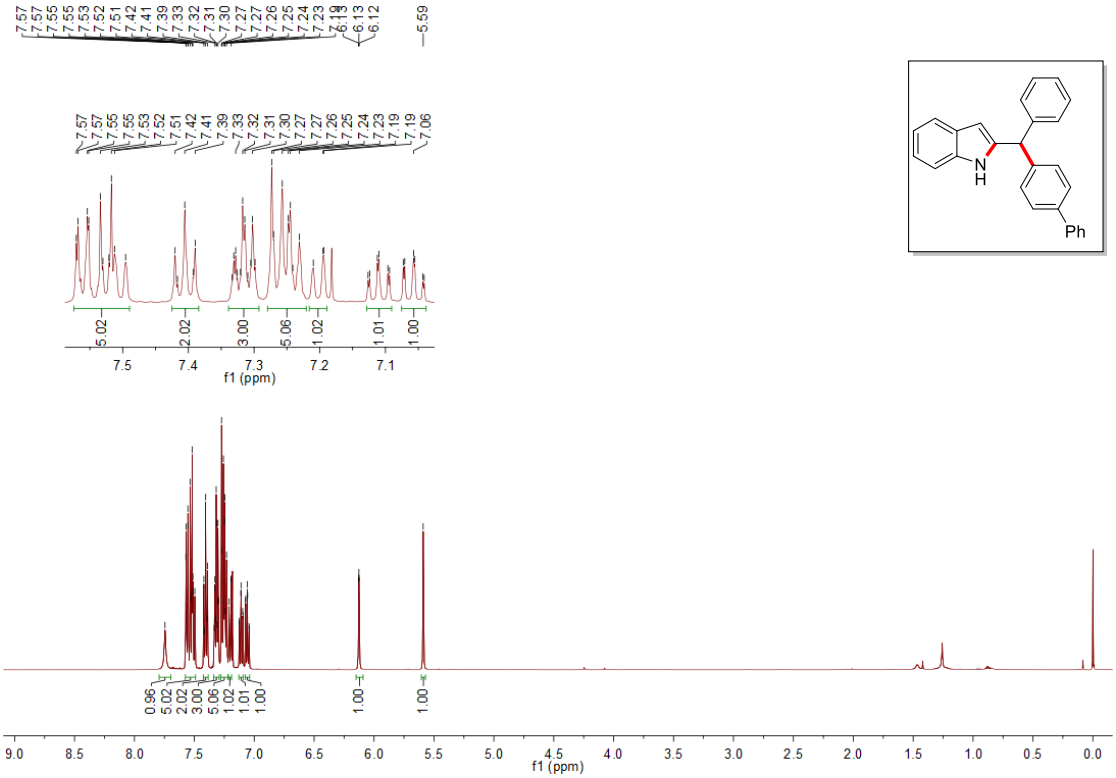
Supplementary Figure 73. ^{1}H NMR Spectrum of 2d (500 MHz, $CDCl_3$)



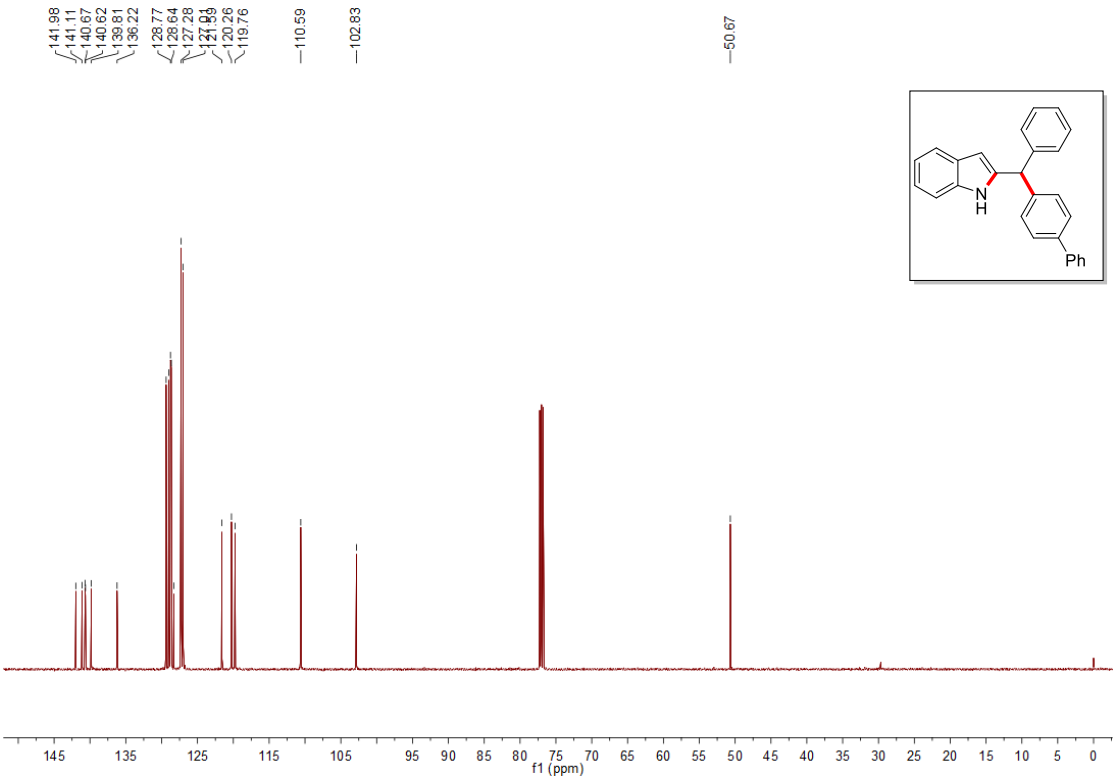
Supplementary Figure 74. ^{13}C NMR Spectrum of 2d (125 MHz, $CDCl_3$)



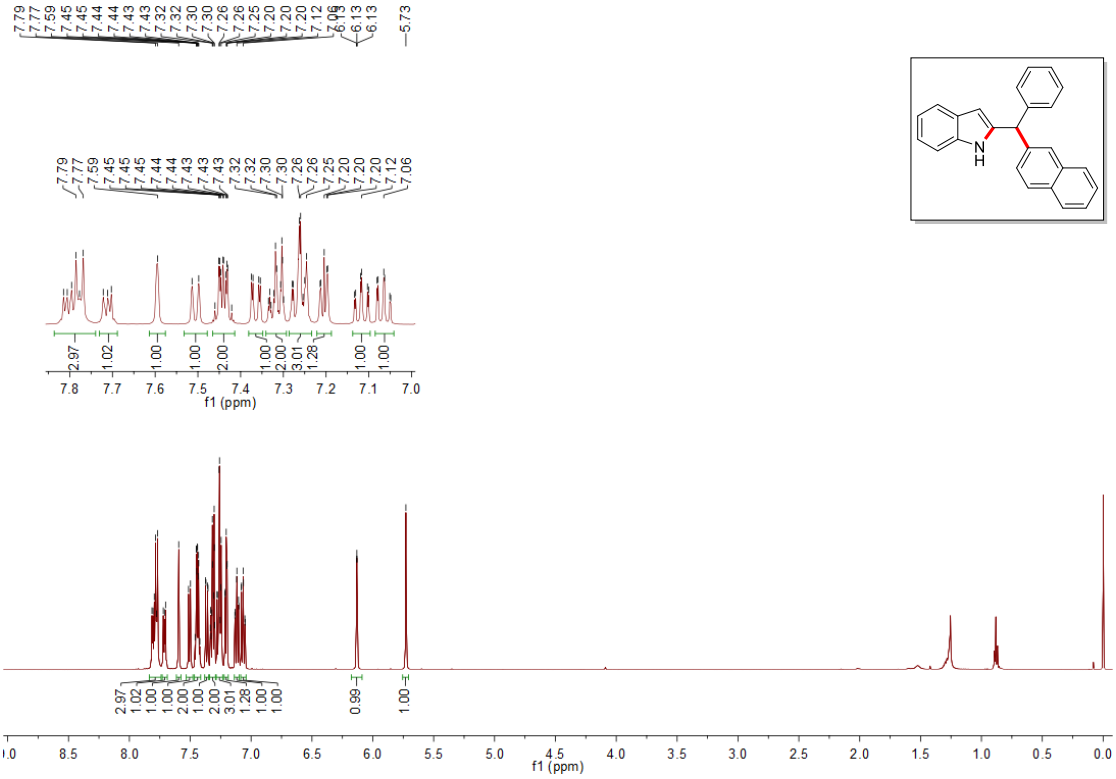
Supplementary Figure 75. ^{1}H NMR Spectrum of 2e (500 MHz, $CDCl_3$)



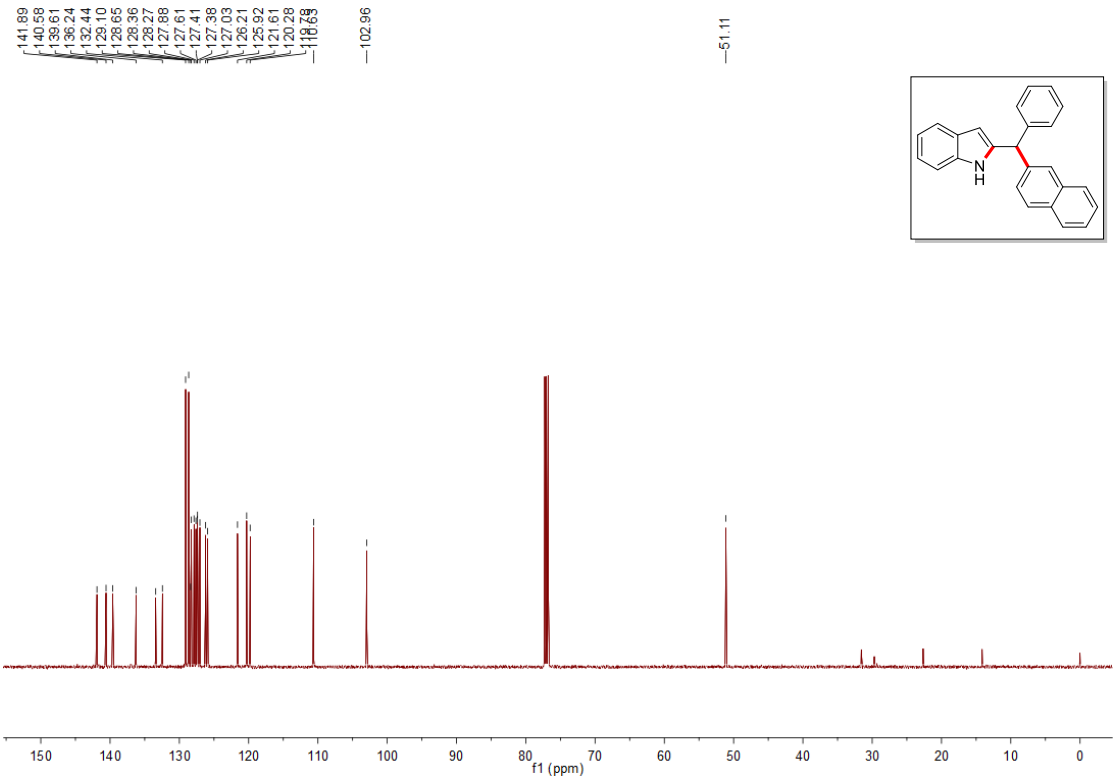
Supplementary Figure 76. ^{13}C NMR Spectrum of 2e (125 MHz, $CDCl_3$)



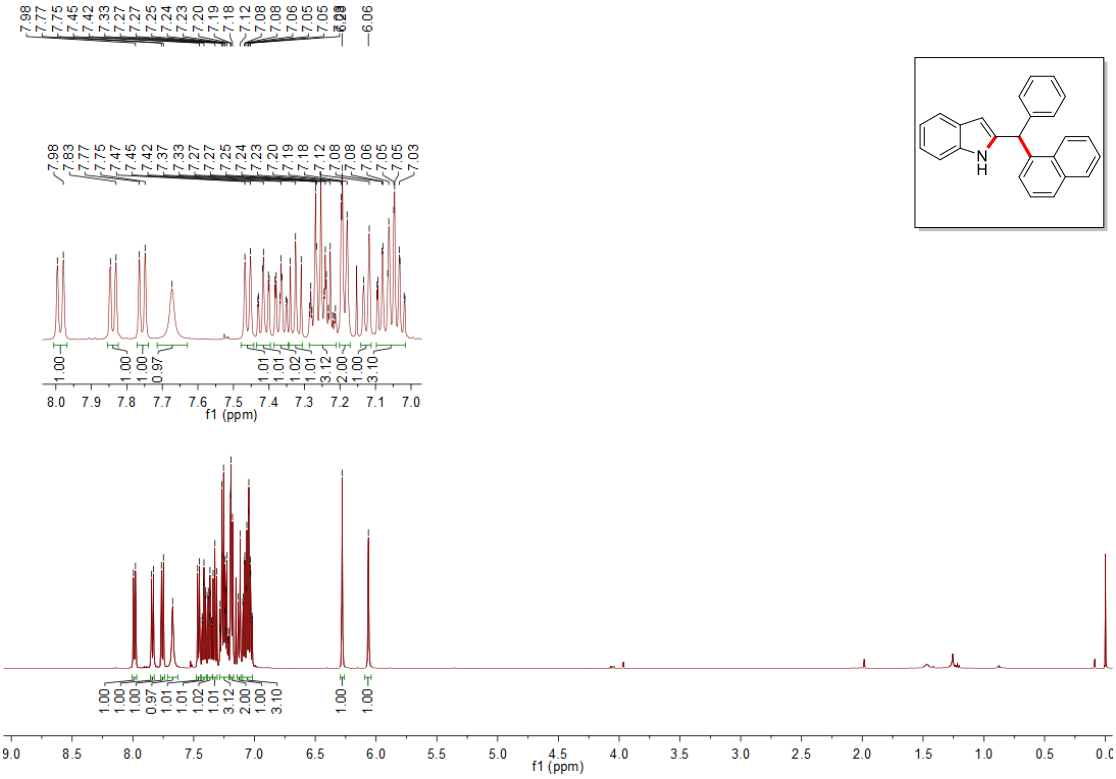
Supplementary Figure 77. ^{1}H NMR Spectrum of 2f (500 MHz, $CDCl_3$)



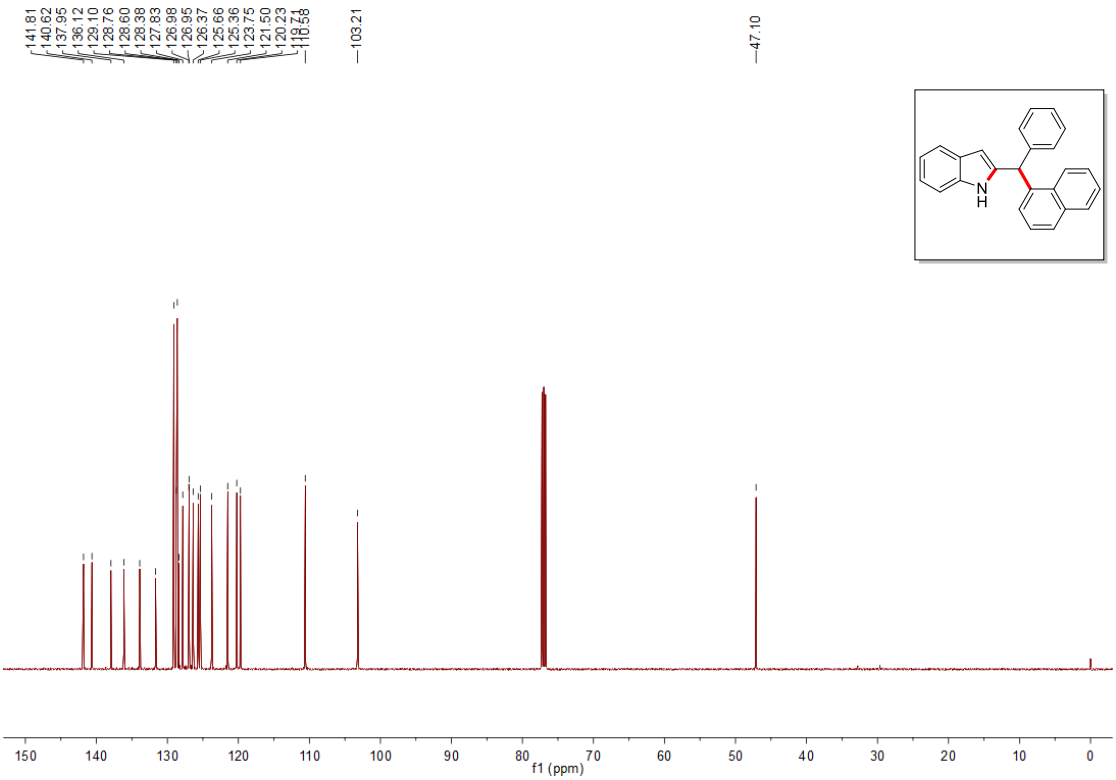
Supplementary Figure 78. ^{13}C NMR Spectrum of 2f (125 MHz, $CDCl_3$)



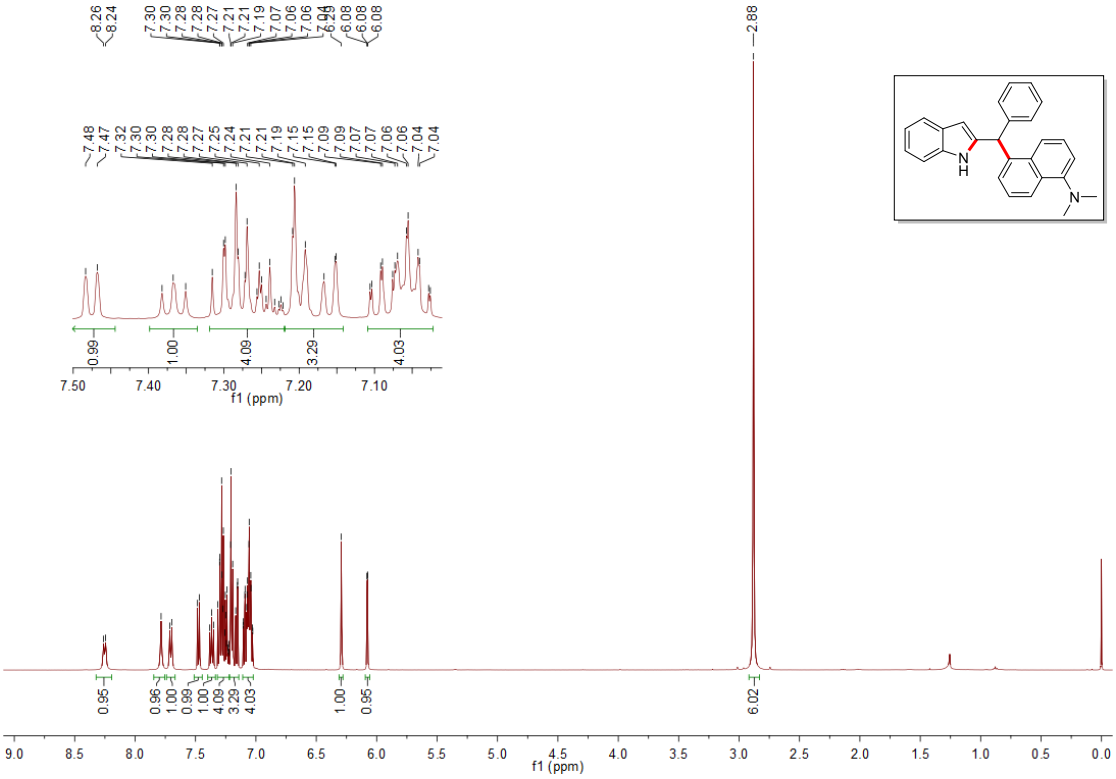
Supplementary Figure 79. ^{1}H NMR Spectrum of 2g (500 MHz, $CDCl_3$)



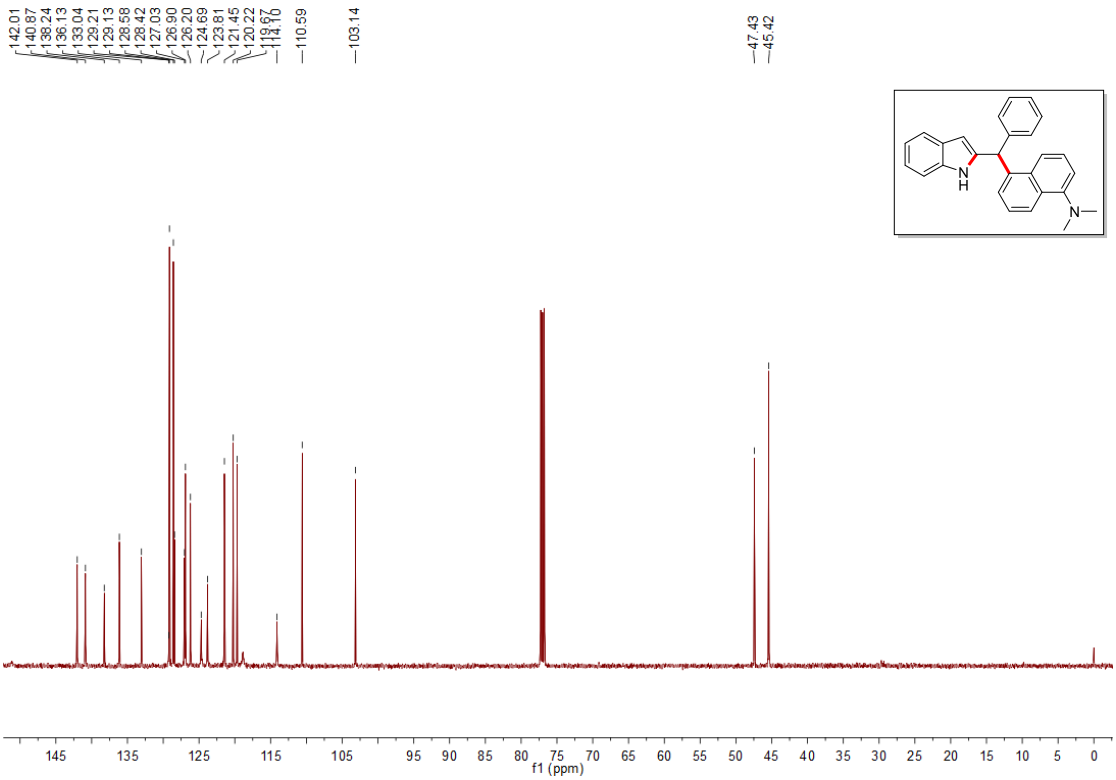
Supplementary Figure 80. ^{13}C NMR Spectrum of 2g (125 MHz, $CDCl_3$)



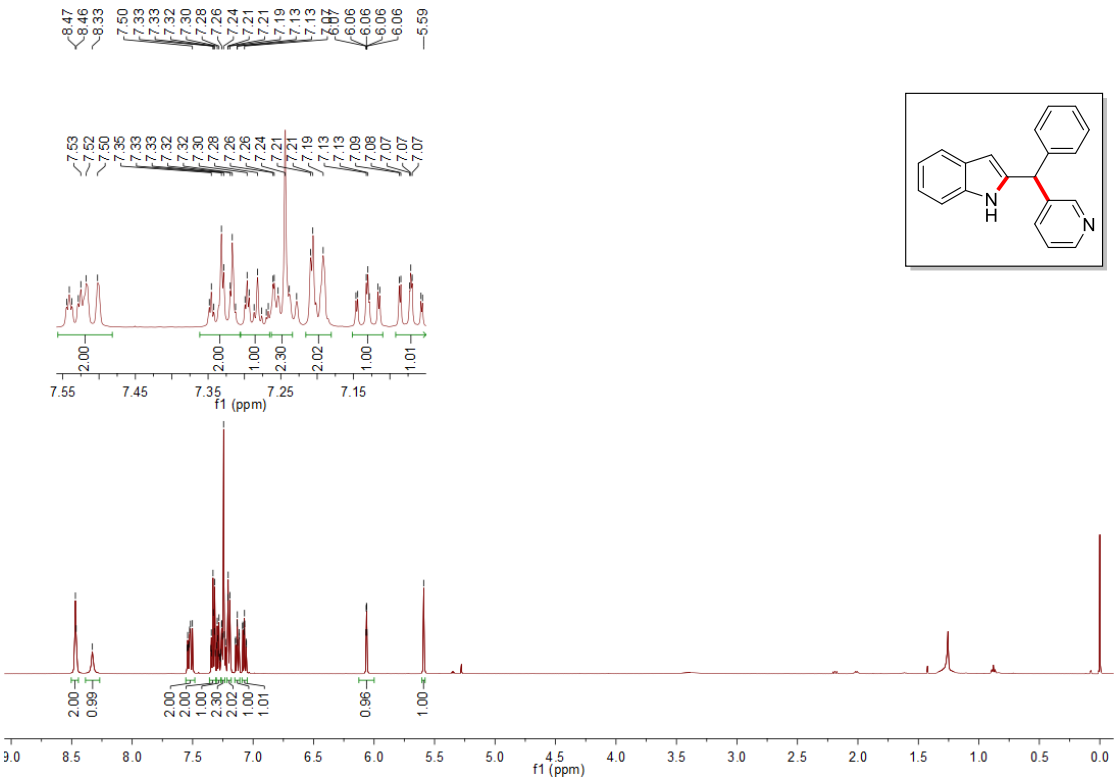
Supplementary Figure 81. ^{1}H NMR Spectrum of 2h (500 MHz, $CDCl_3$)



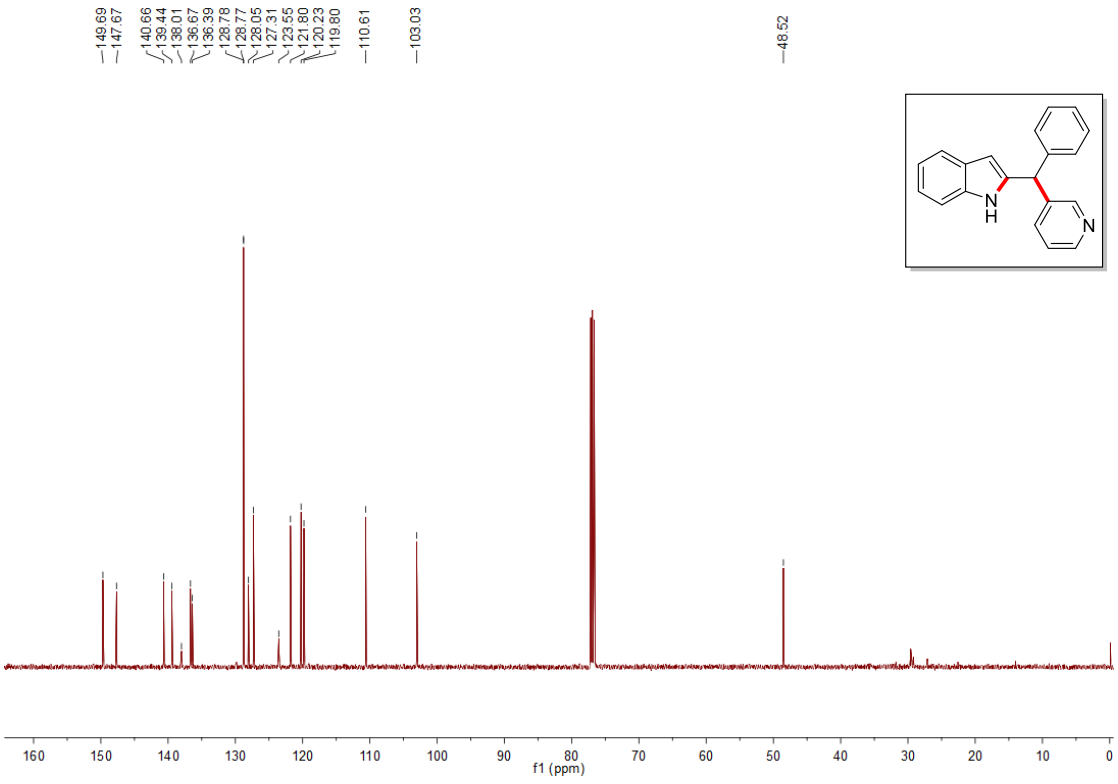
Supplementary Figure 82. ^{13}C NMR Spectrum of 2h (125 MHz, $CDCl_3$)



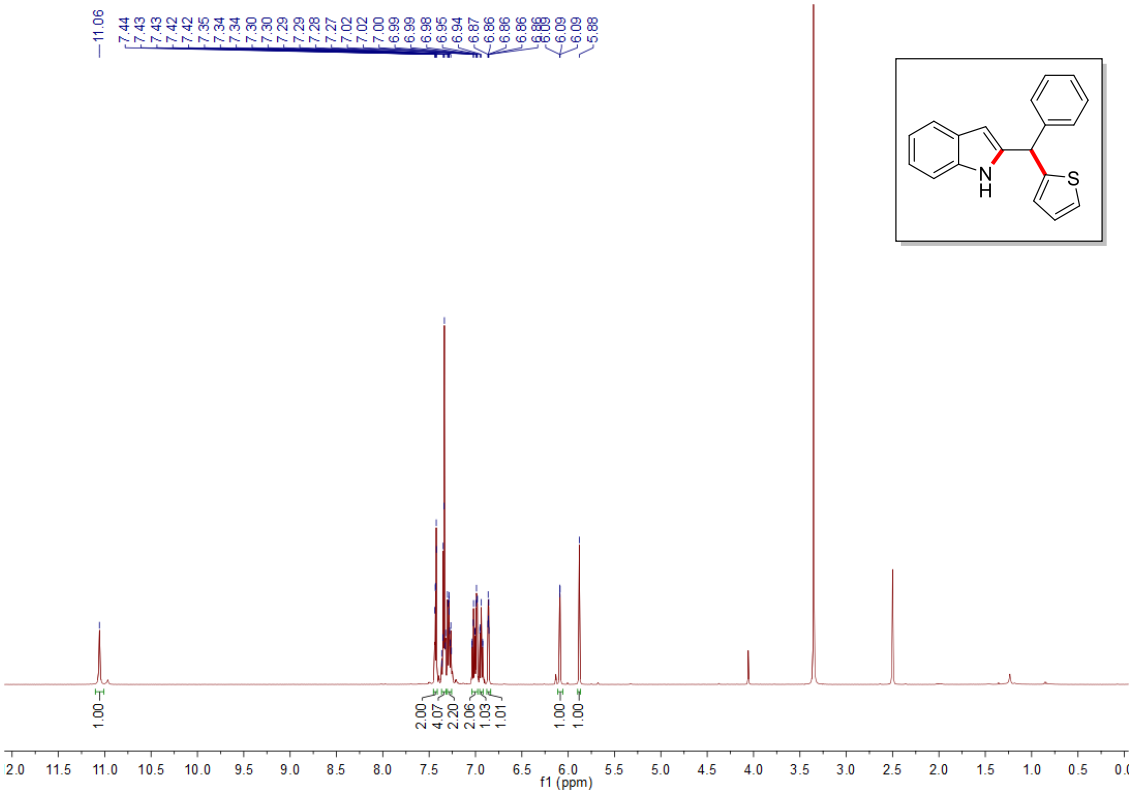
Supplementary Figure 83. ^{1}H NMR Spectrum of 2i (500 MHz, $CDCl_3$)



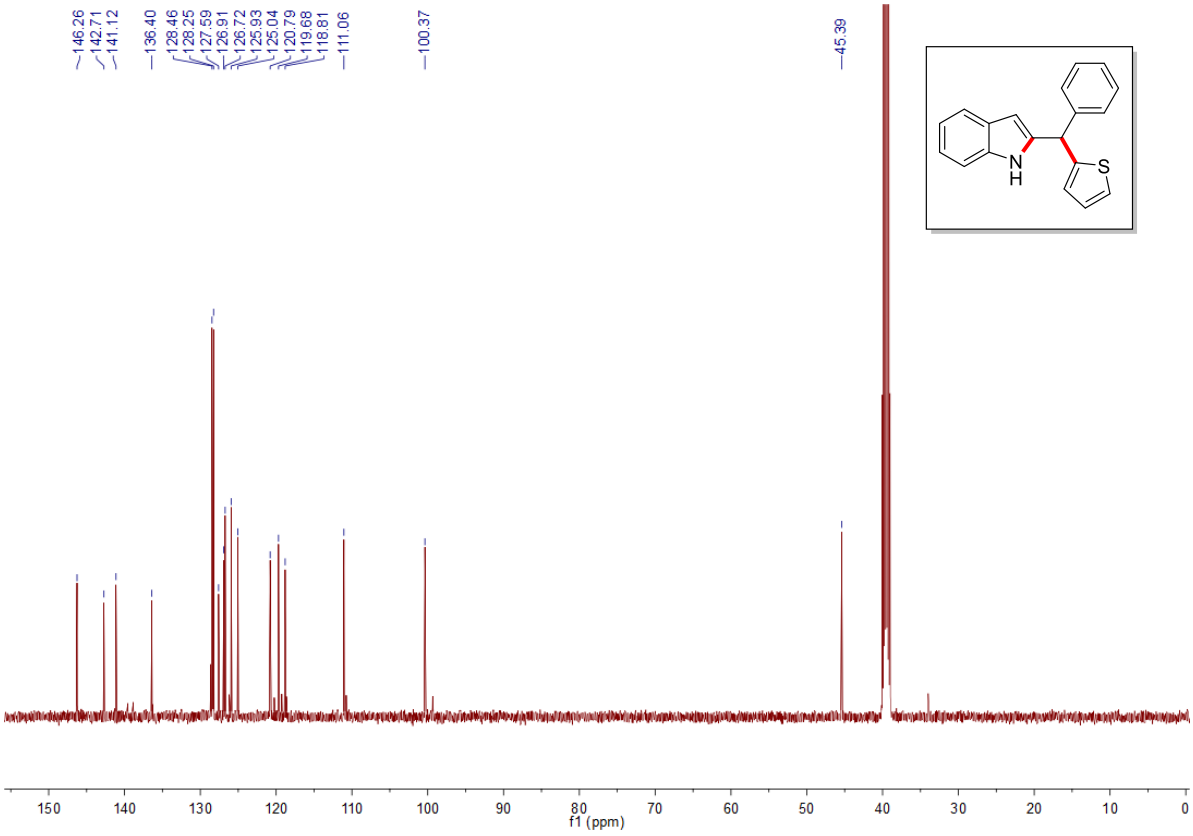
Supplementary Figure 84. ^{13}C NMR Spectrum of 2i (125 MHz, $CDCl_3$)



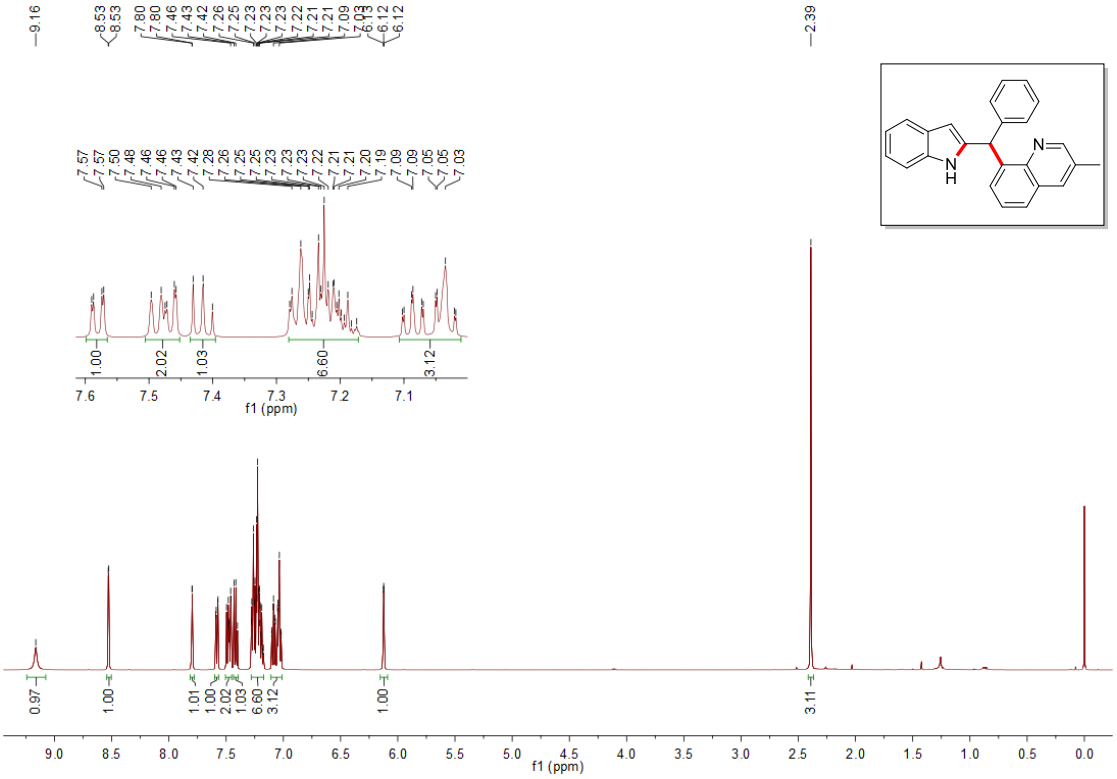
Supplementary Figure 85. ^{1}H NMR Spectrum of 2j (500 MHz, DMSO–d_6)



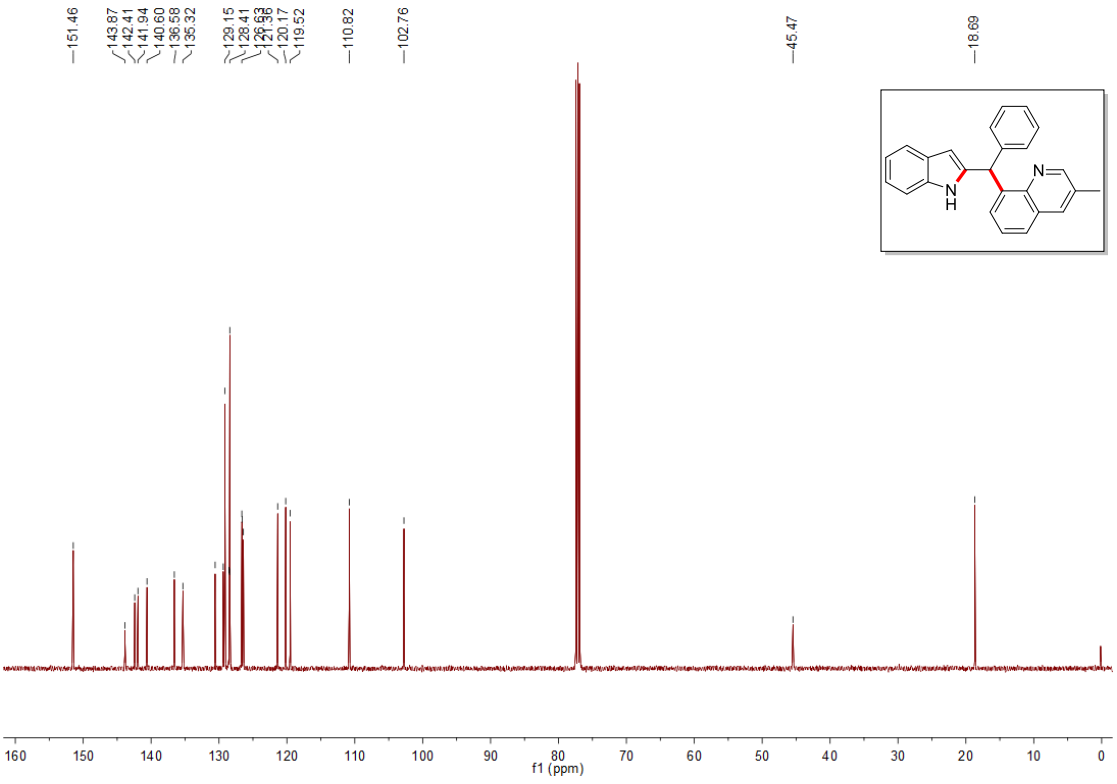
Supplementary Figure 86. ^{13}C NMR Spectrum of 2j (125 MHz, DMSO–d_6)



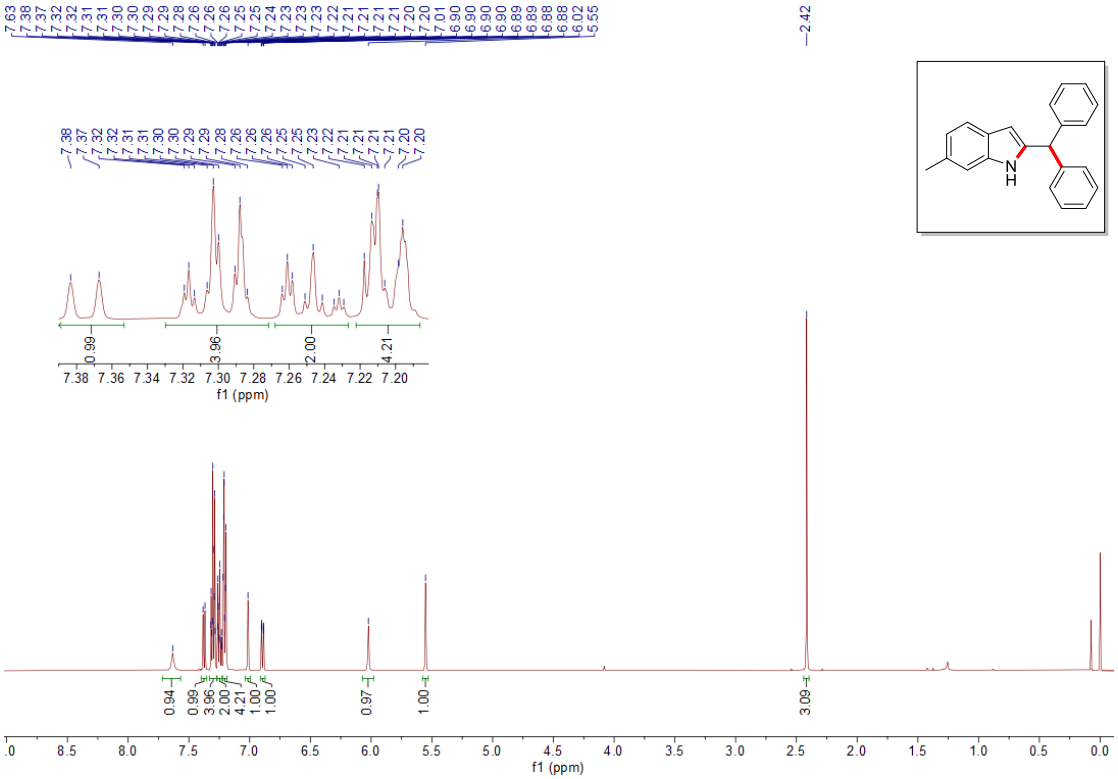
Supplementary Figure 87. ^{1}H NMR Spectrum of 2k (500 MHz, $CDCl_3$)



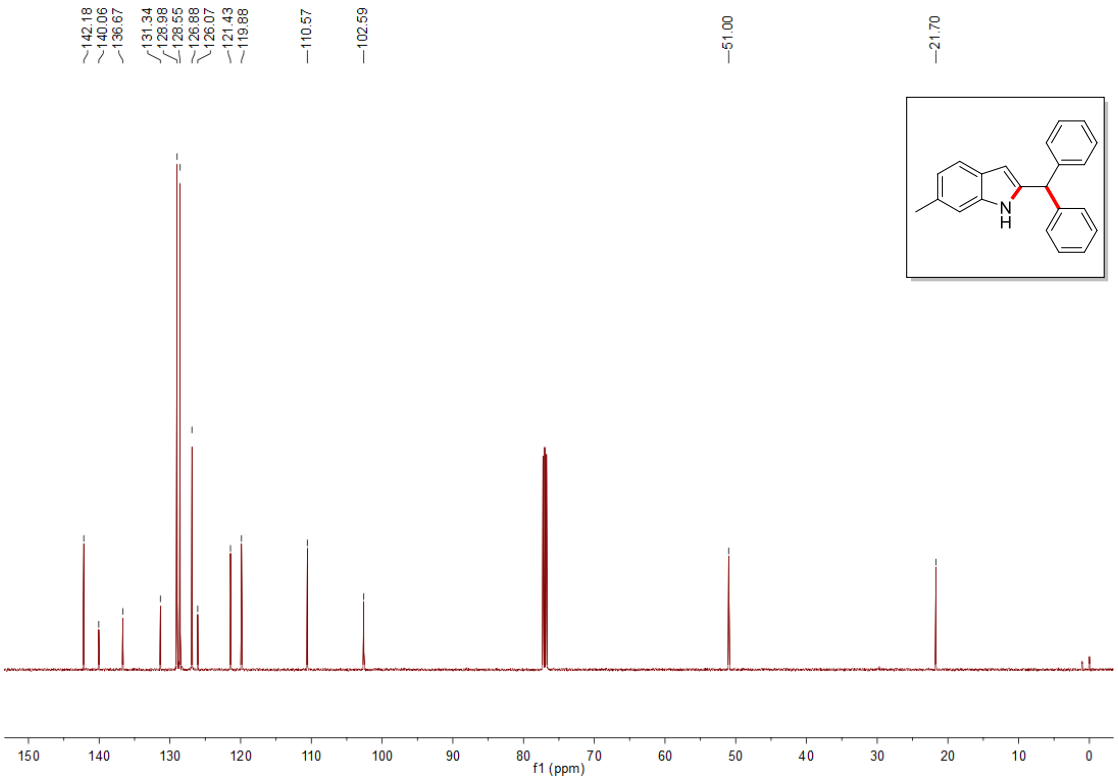
Supplementary Figure 88. ^{13}C NMR Spectrum of 2k (125 MHz, $CDCl_3$)



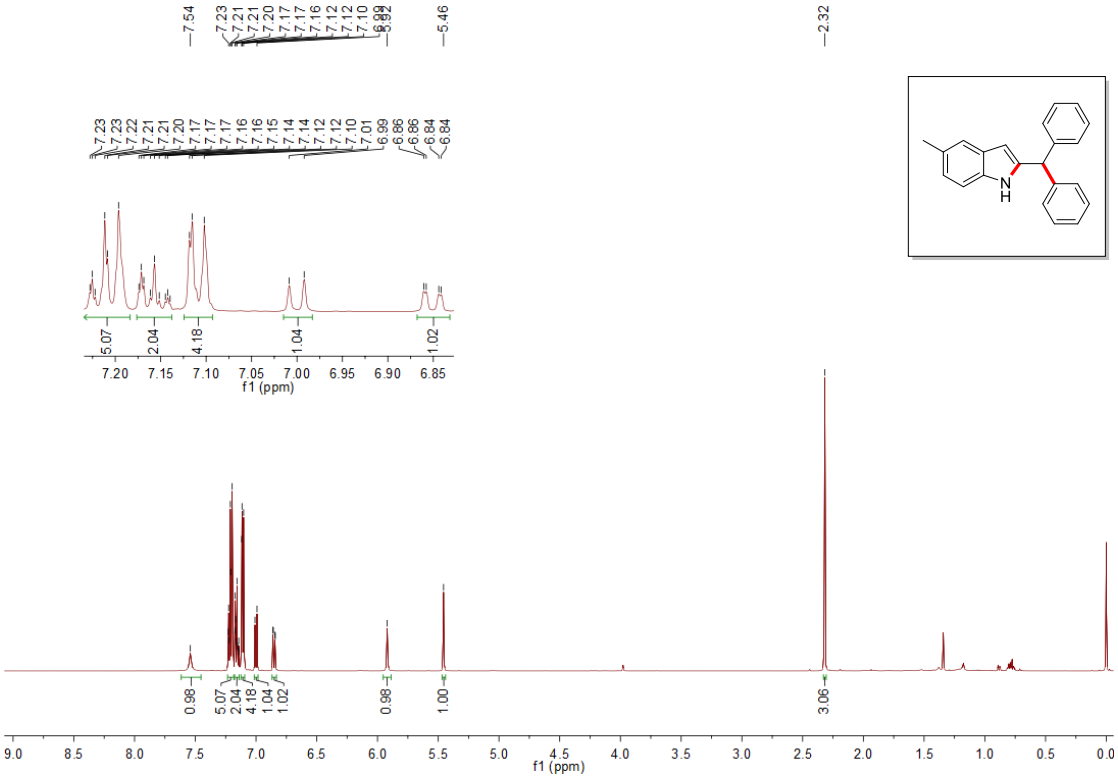
Supplementary Figure 89. ^{1}H NMR Spectrum of 2l (500 MHz, $CDCl_3$)



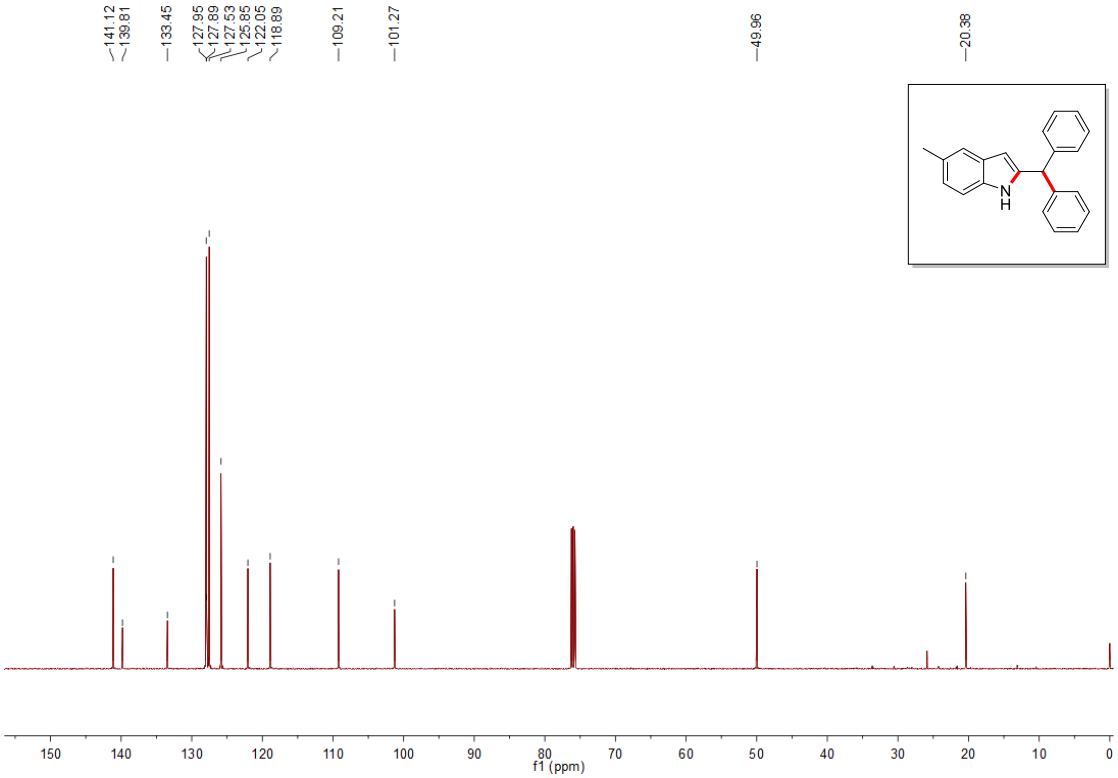
Supplementary Figure 90. ^{13}C NMR Spectrum of 2l (125 MHz, $CDCl_3$)



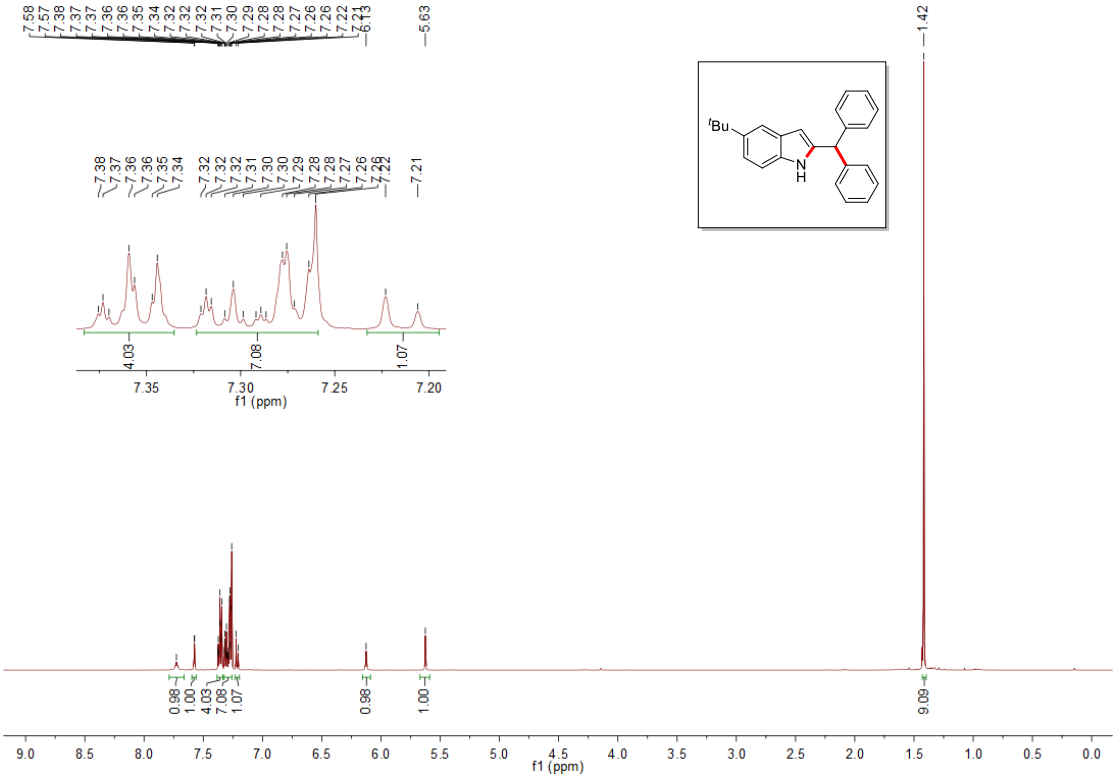
Supplementary Figure 91. ^{1}H NMR Spectrum of 2m (500 MHz, $CDCl_3$)



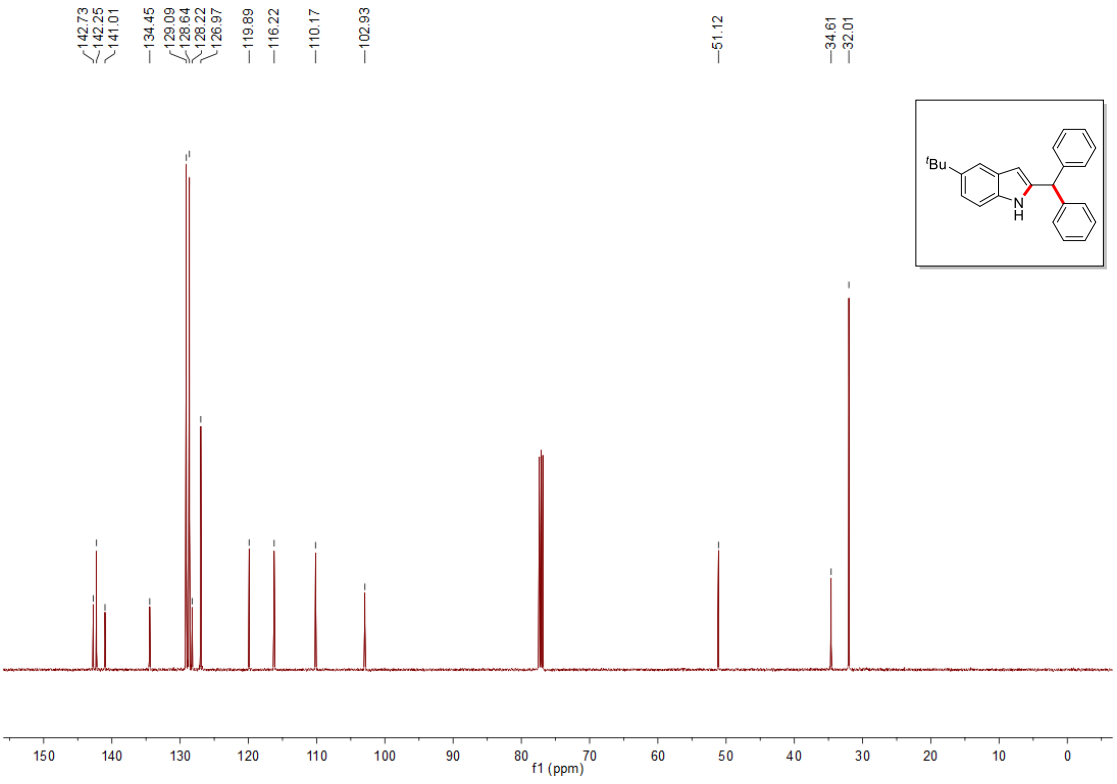
Supplementary Figure 92. ^{13}C NMR Spectrum of 2m (125 MHz, $CDCl_3$)



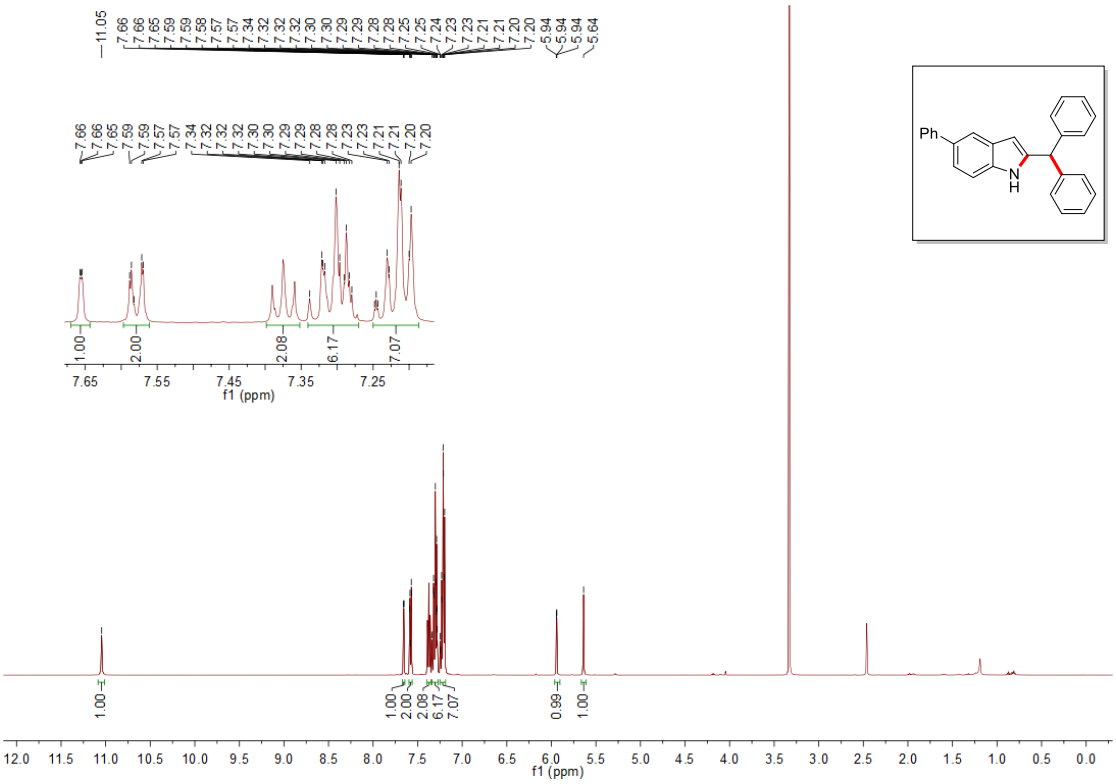
Supplementary Figure 93. ^{1}H NMR Spectrum of 2n (500 MHz, $CDCl_3$)



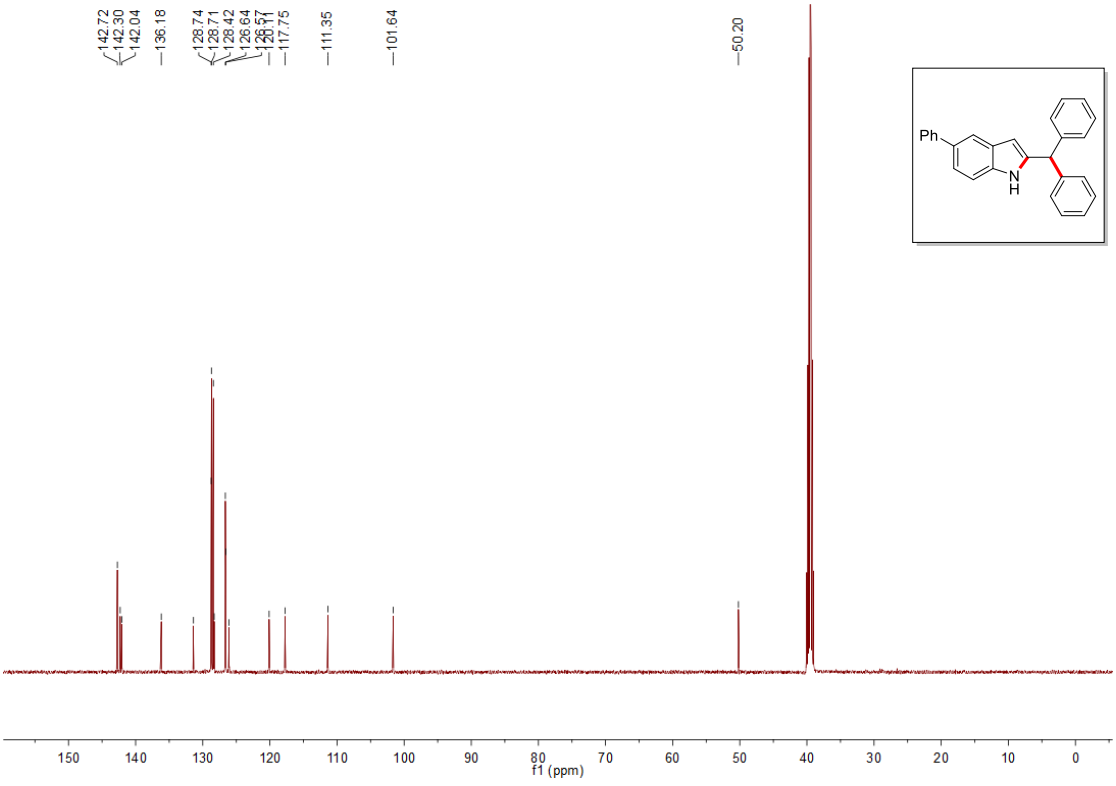
Supplementary Figure 94. ^{13}C NMR Spectrum of 2n (125 MHz, $CDCl_3$)



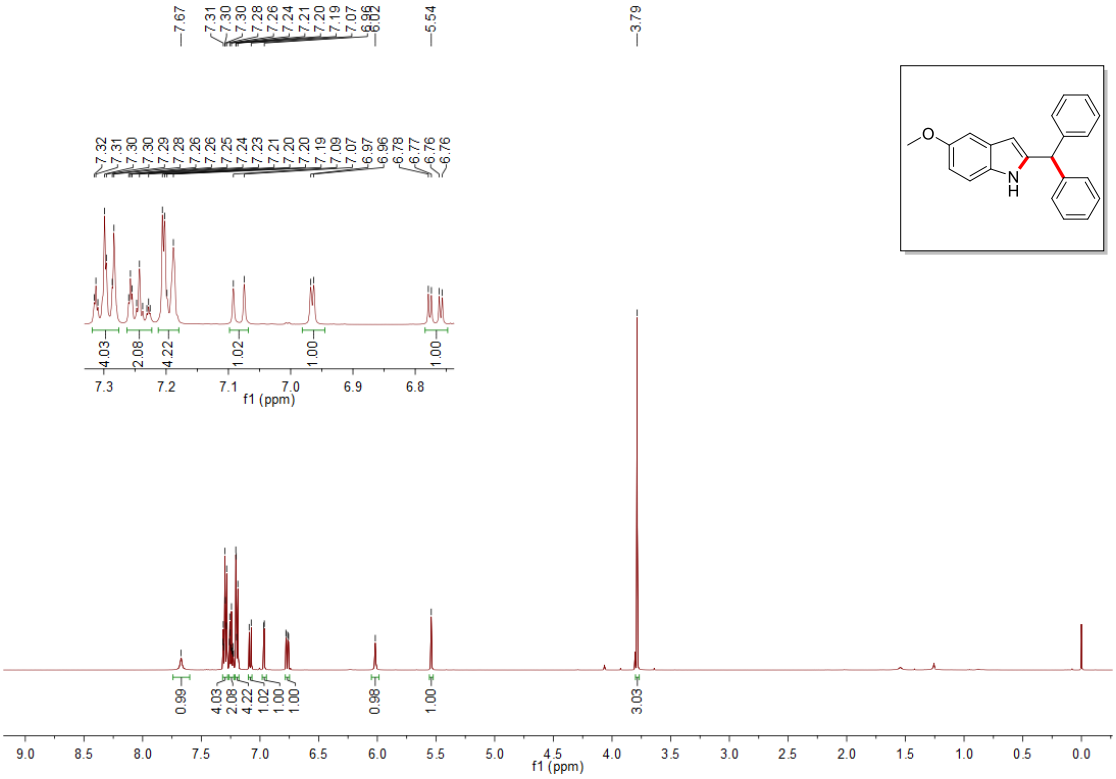
Supplementary Figure 95. ^{1}H NMR Spectrum of 2o (500 MHz, DMSO–d_6)



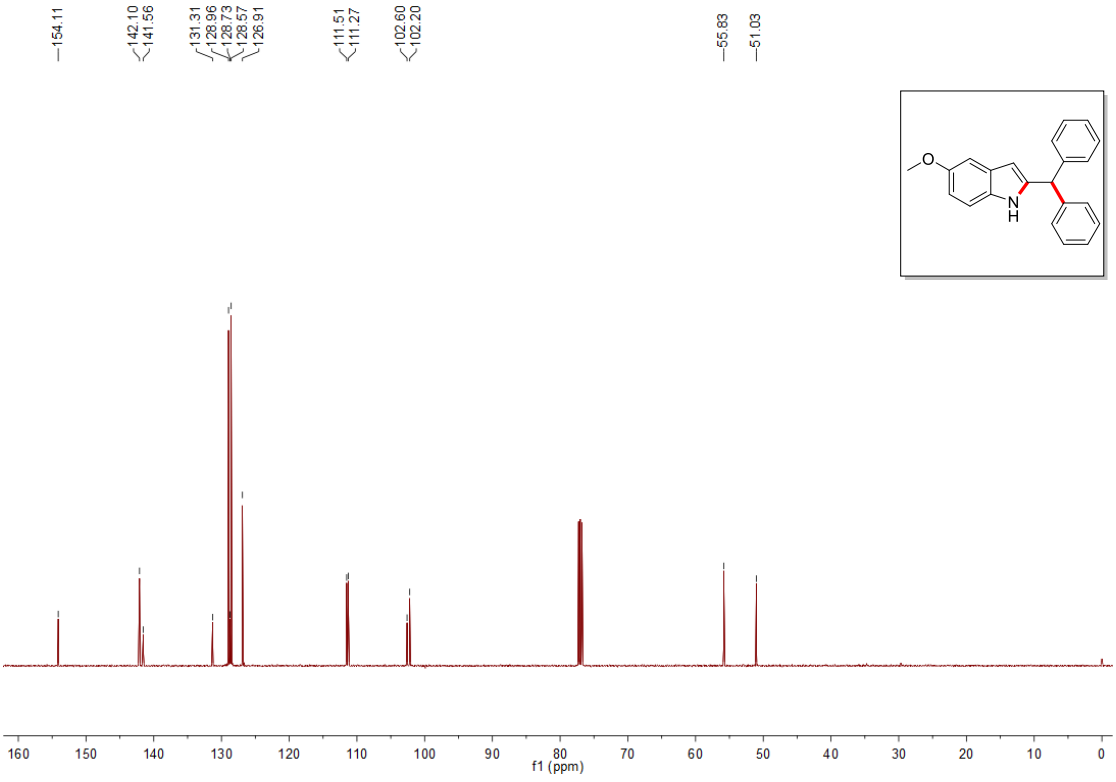
Supplementary Figure 96. ^{13}C NMR Spectrum of 2o (125 MHz, DMSO–d_6)



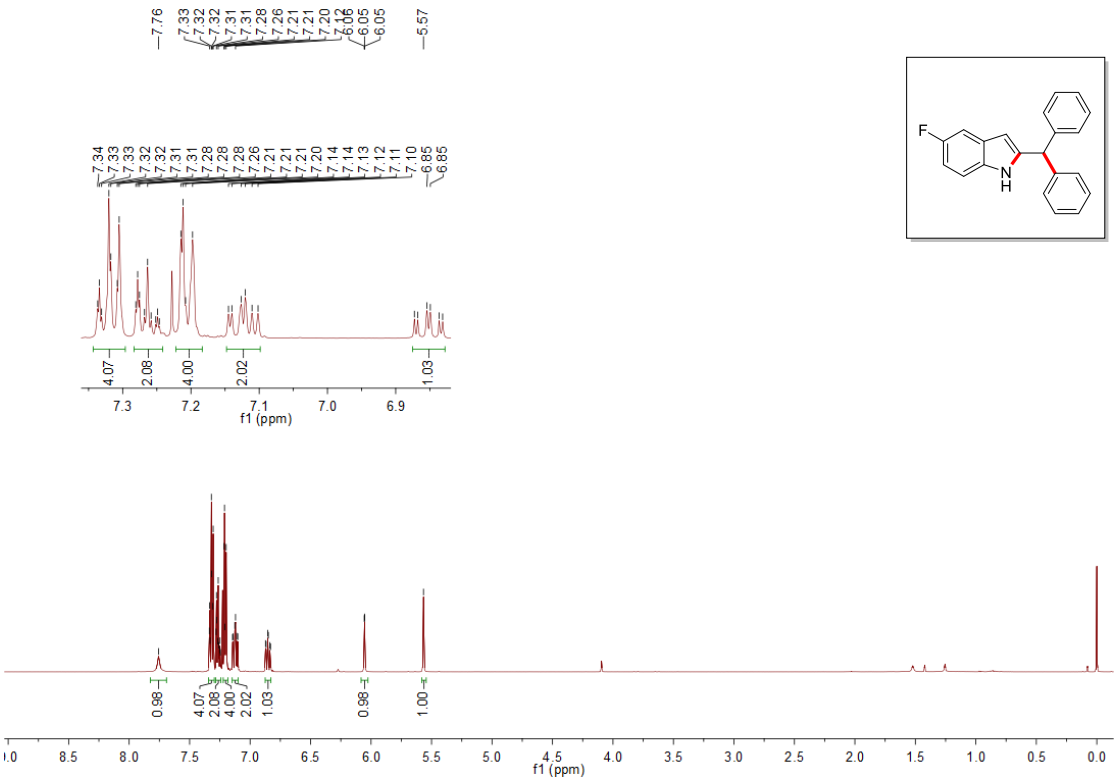
Supplementary Figure 97. ^{1}H NMR Spectrum of 2p (500 MHz, $CDCl_3$)



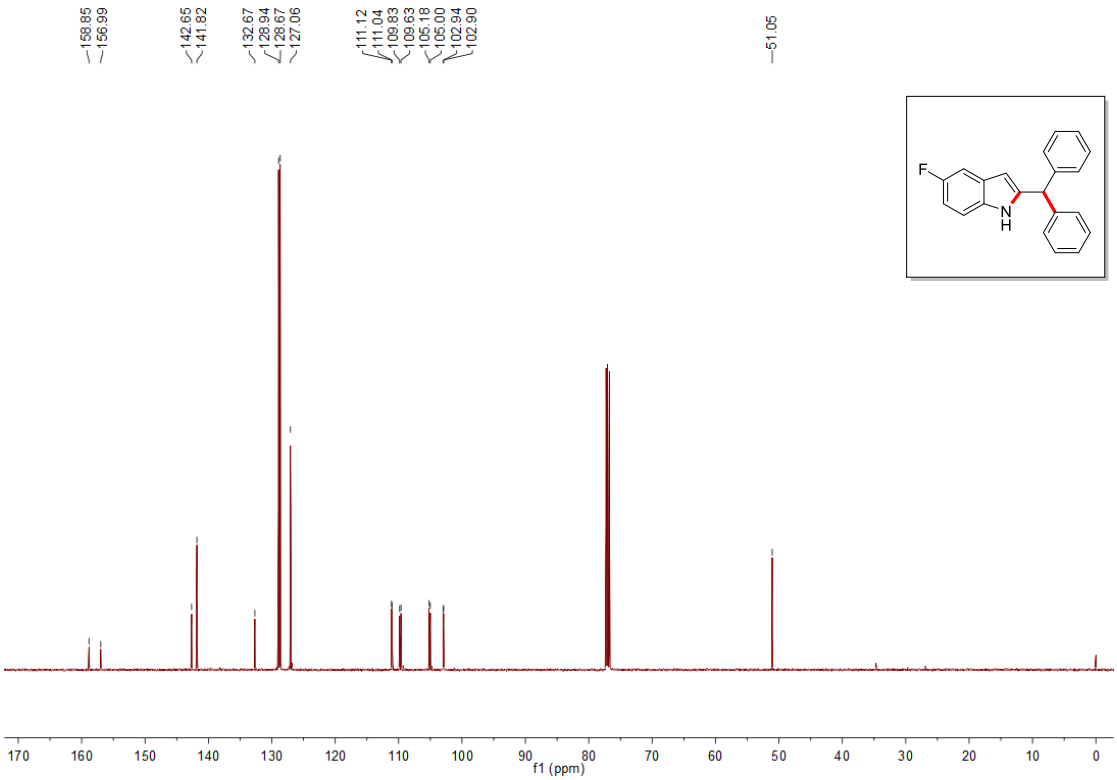
Supplementary Figure 98. ^{13}C NMR Spectrum of 2p (125 MHz, $CDCl_3$)



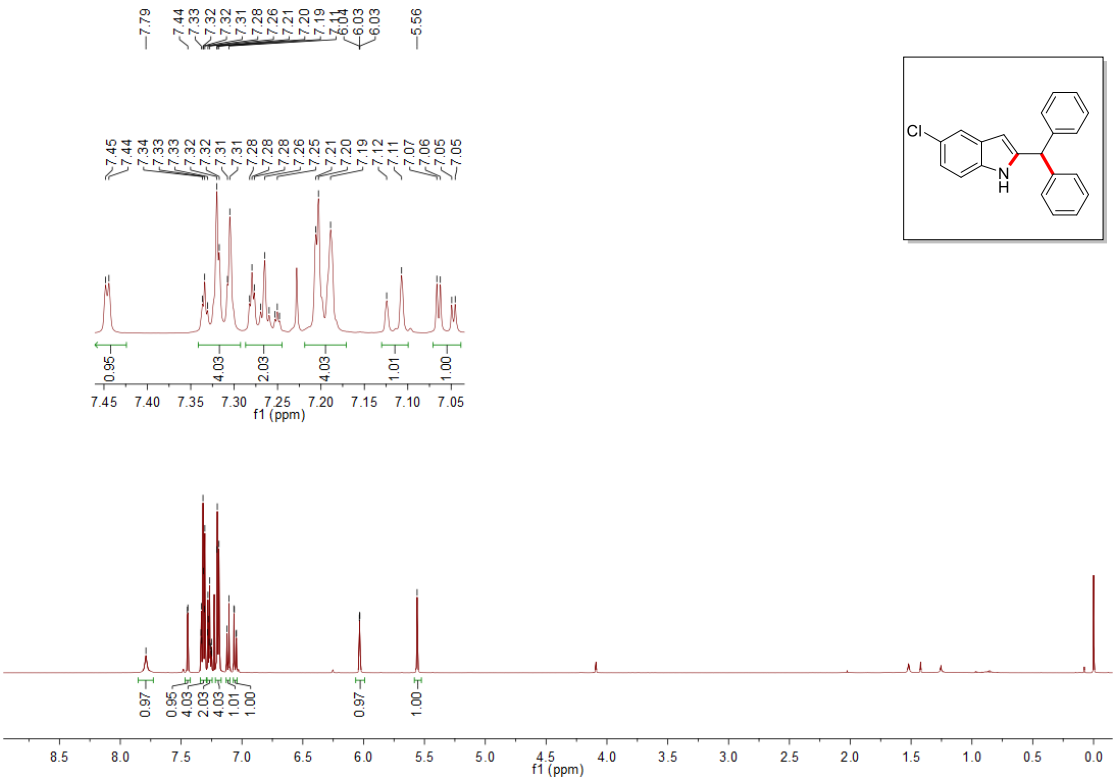
Supplementary Figure 99. ^{1}H NMR Spectrum of 2q (500 MHz, $CDCl_3$)



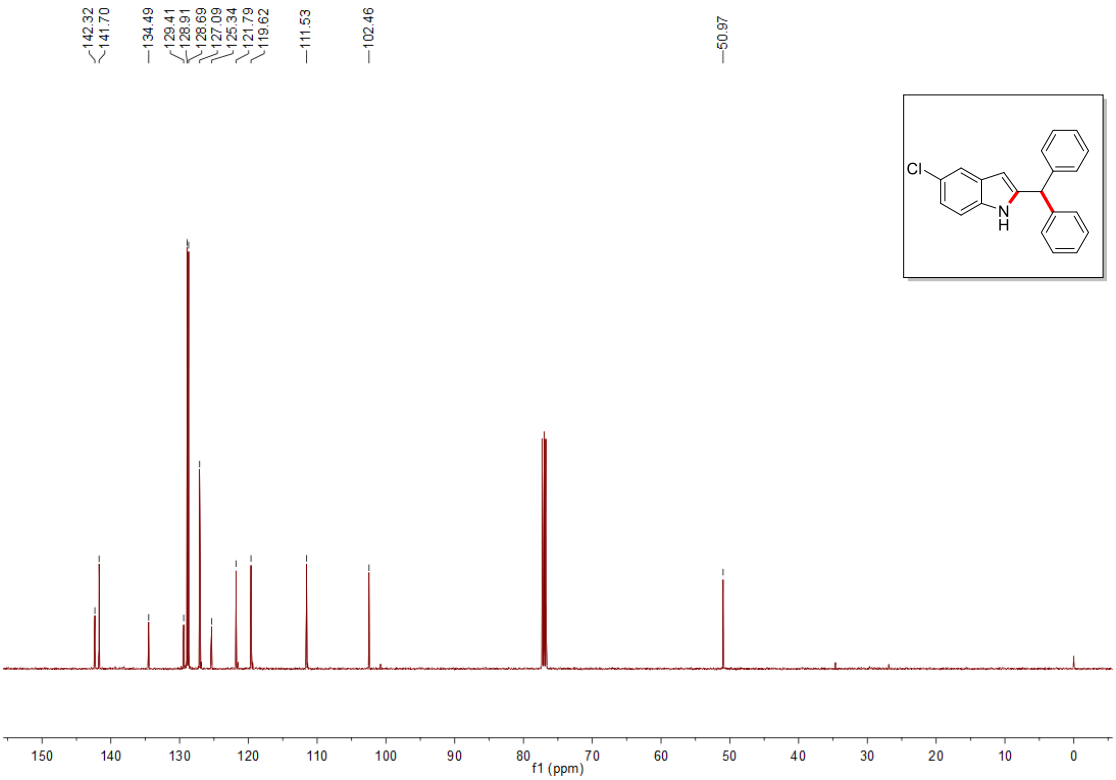
Supplementary Figure 100. ^{13}C NMR Spectrum of 2q (125 MHz, $CDCl_3$)



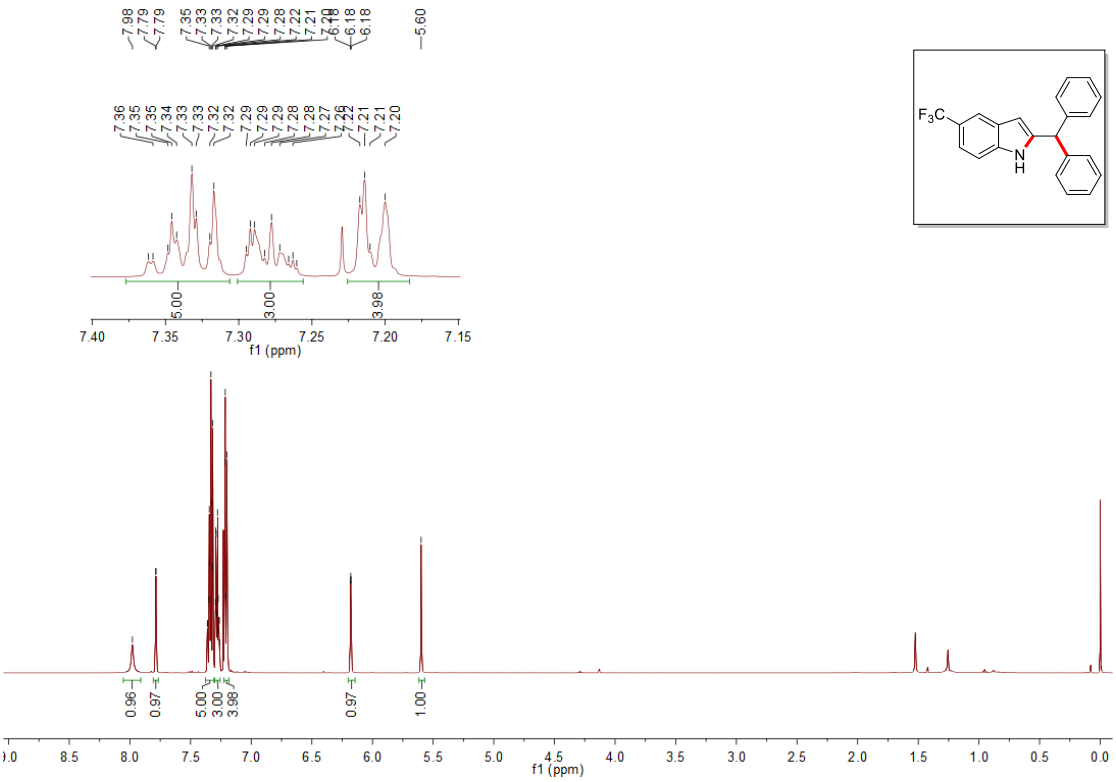
Supplementary Figure 101. ^{1}H NMR Spectrum of 2r (500 MHz, $CDCl_3$)



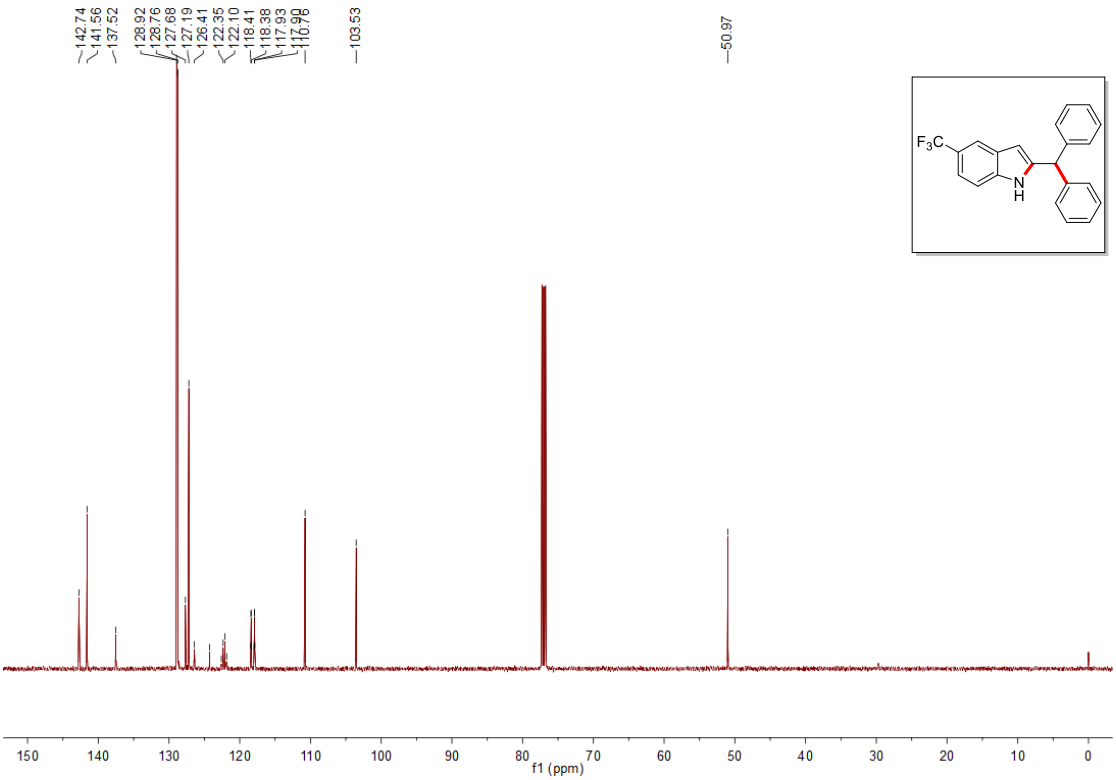
Supplementary Figure 102. ^{13}C NMR Spectrum of 2r (125 MHz, $CDCl_3$)



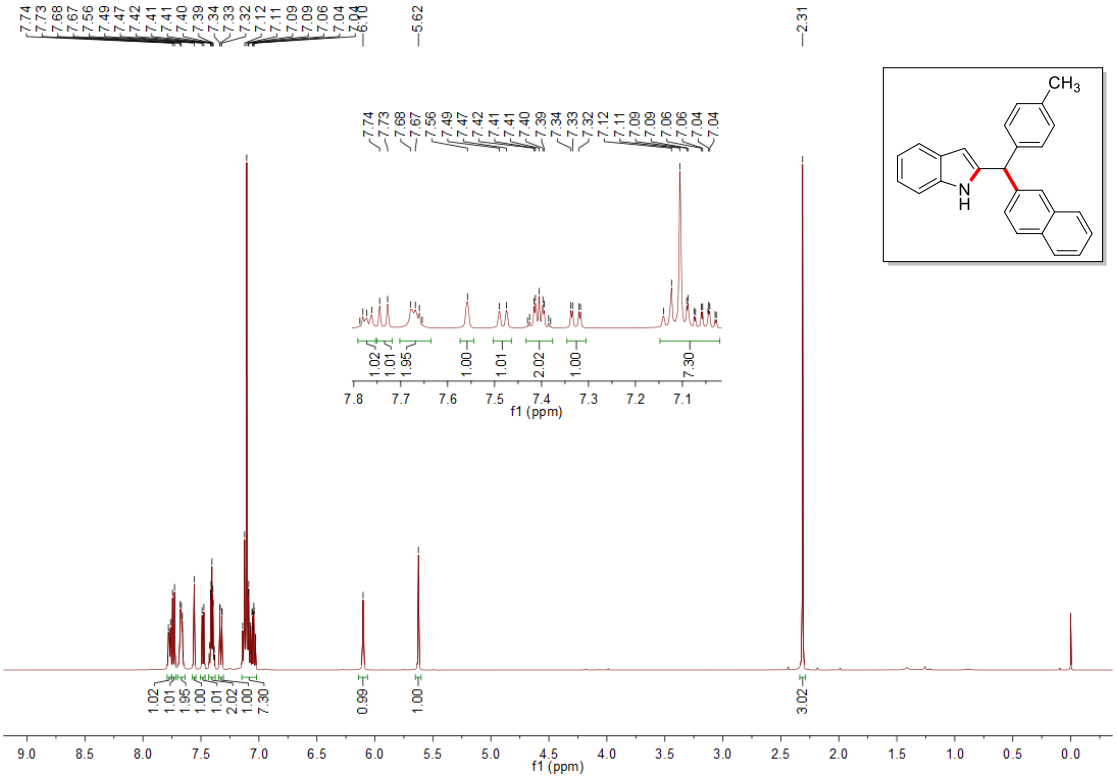
Supplementary Figure 103. ^{1}H NMR Spectrum of 2s (500 MHz, $CDCl_3$)



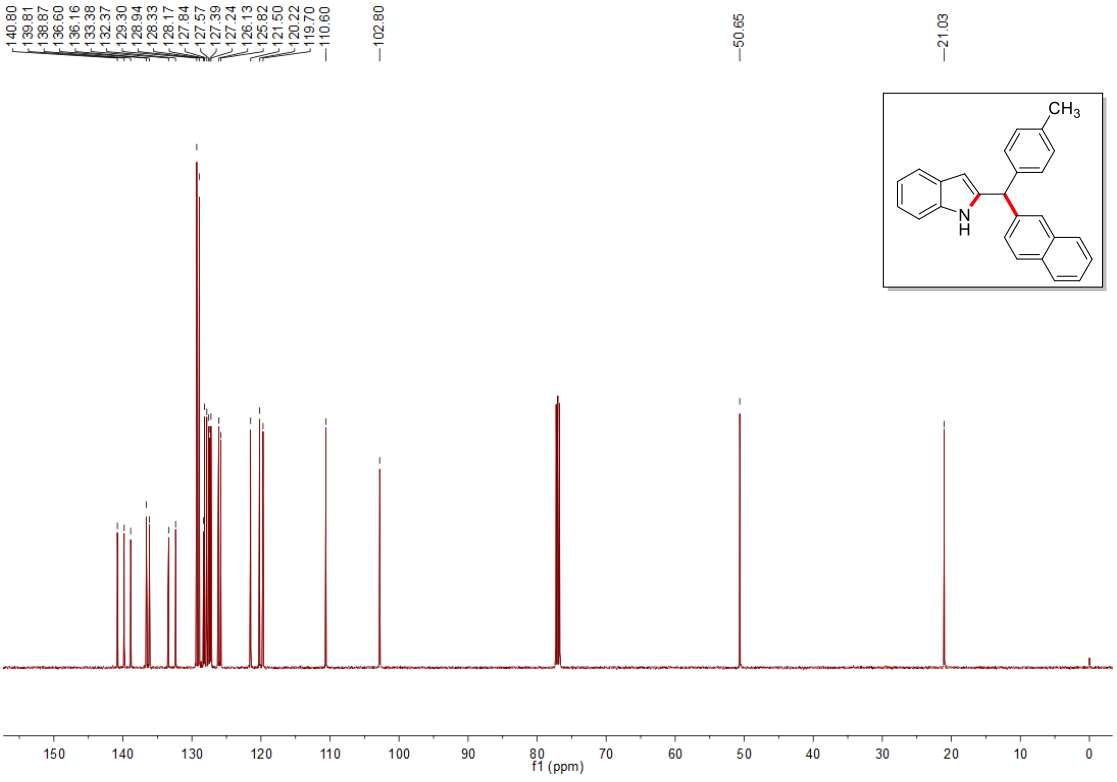
Supplementary Figure 104. ^{13}C NMR Spectrum of 2s (125 MHz, $CDCl_3$)



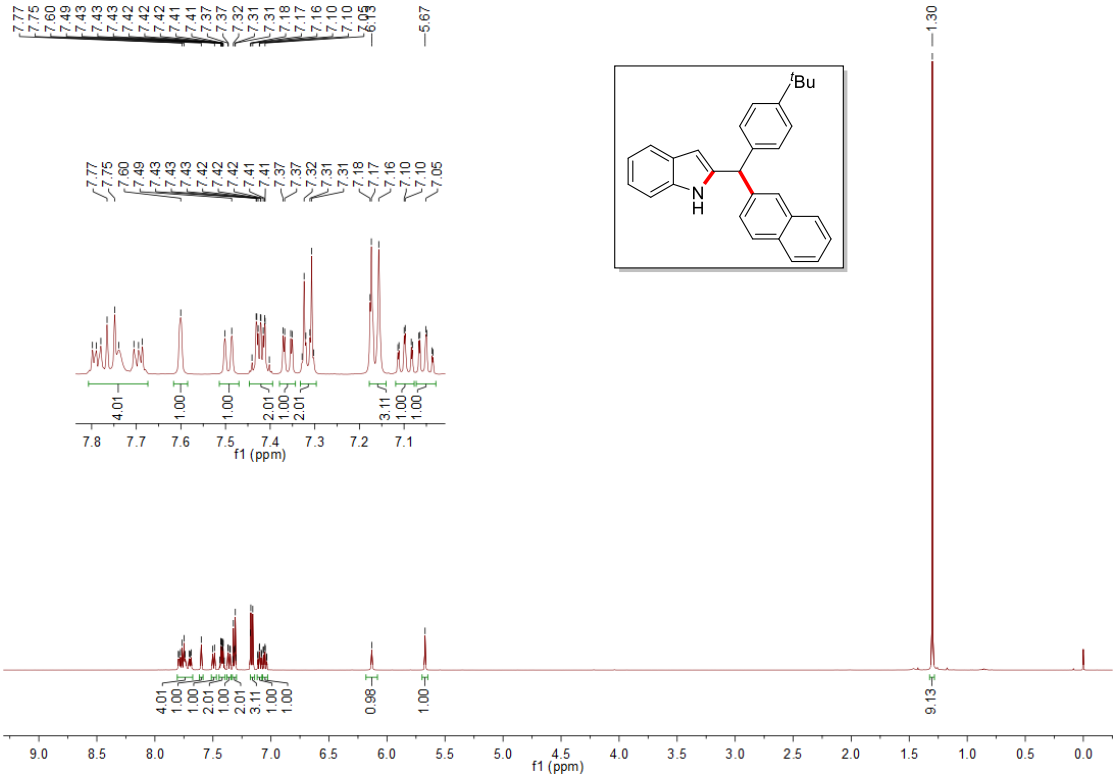
Supplementary Figure 105. ^{1}H NMR Spectrum of 2t (500 MHz, $CDCl_3$)



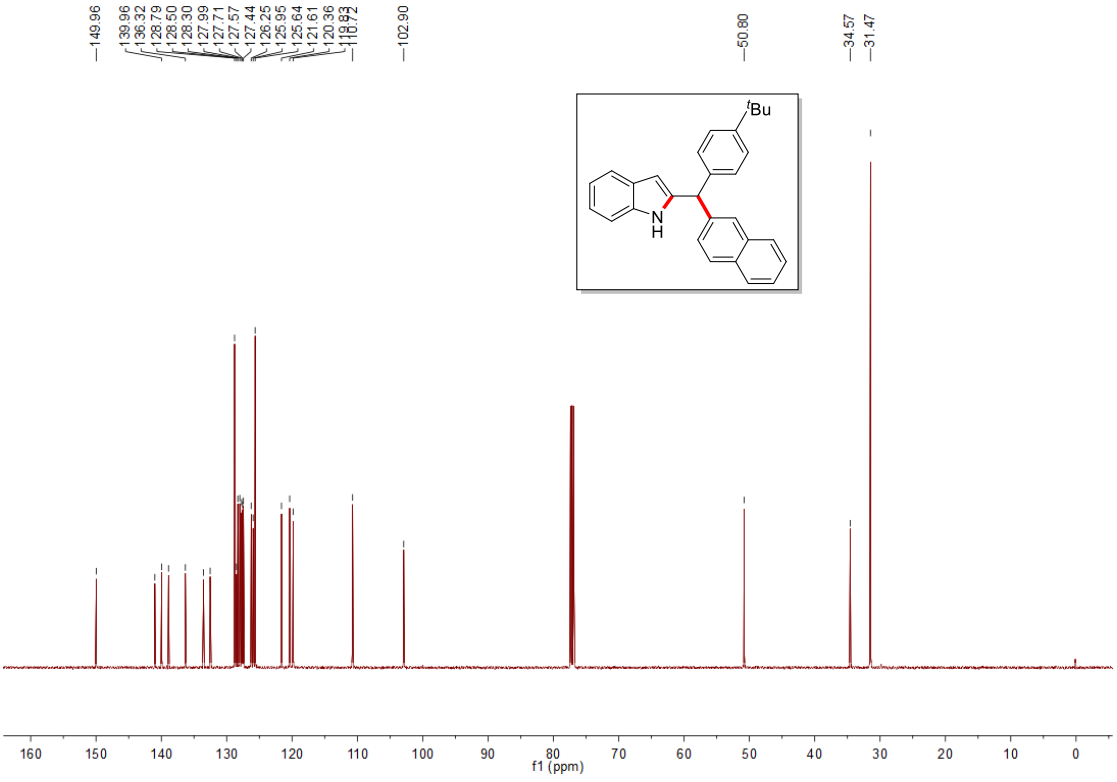
Supplementary Figure 106. ^{13}C NMR Spectrum of 2t (125 MHz, $CDCl_3$)



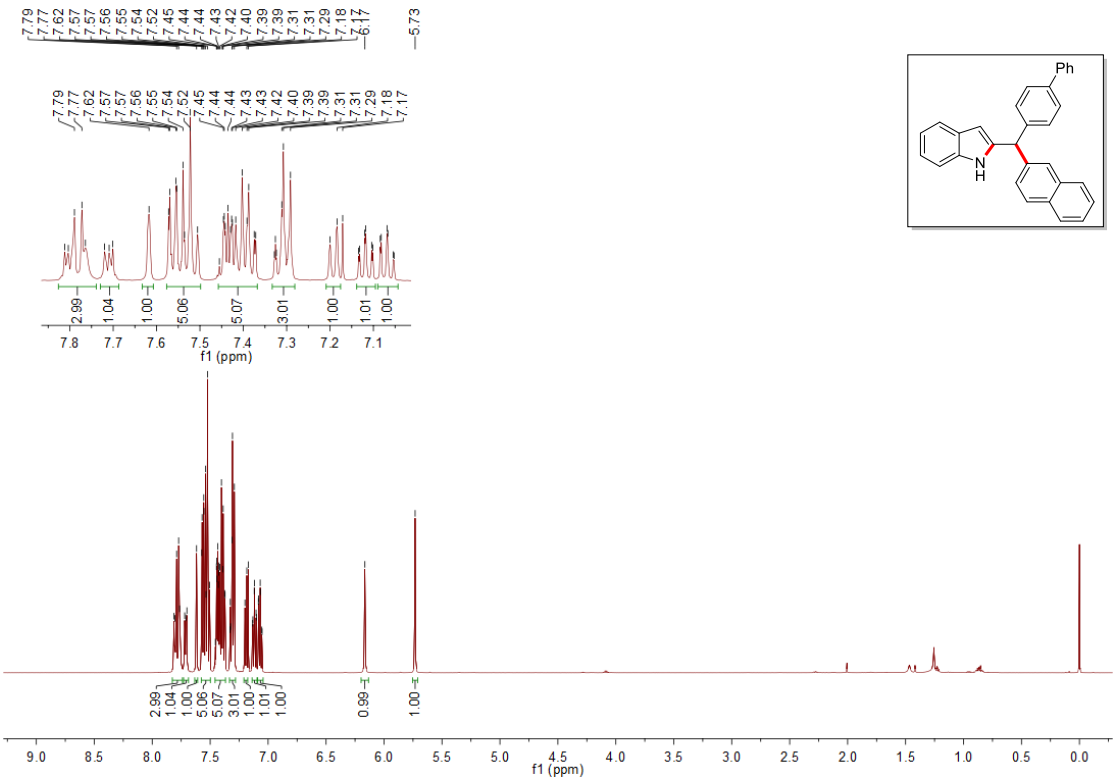
Supplementary Figure 107. ^{1}H NMR Spectrum of 2u (500 MHz, $CDCl_3$)



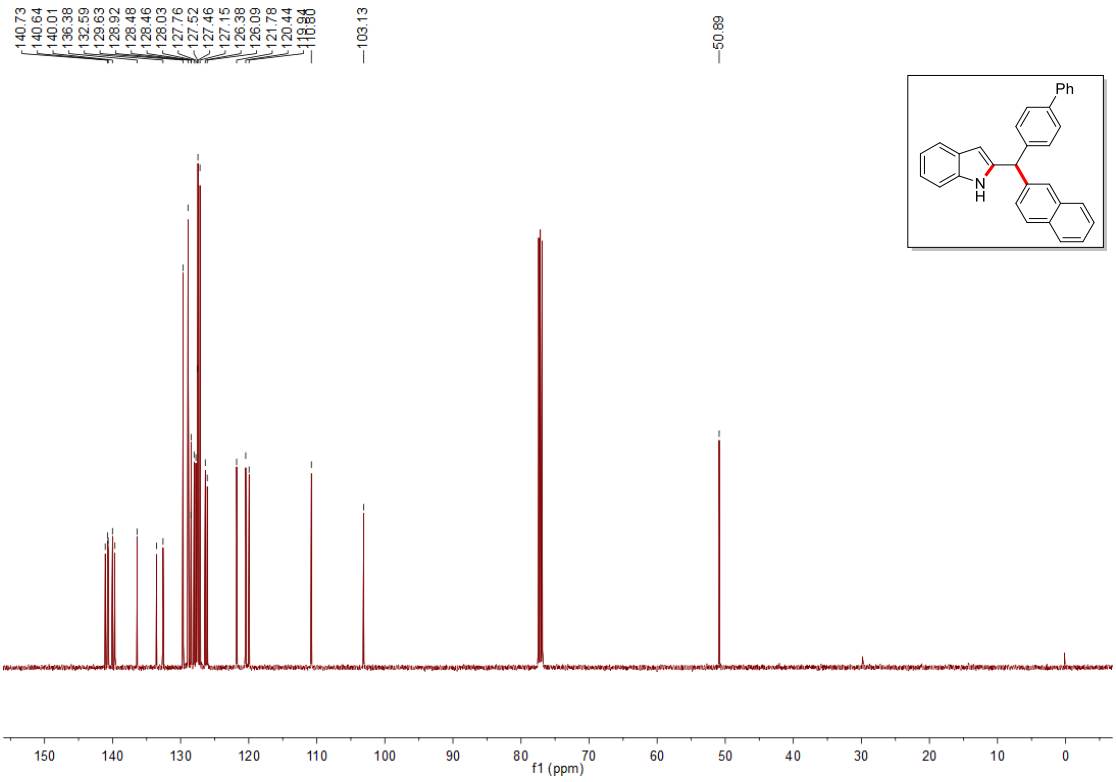
Supplementary Figure 108. ^{13}C NMR Spectrum of 2u (125 MHz, $CDCl_3$)



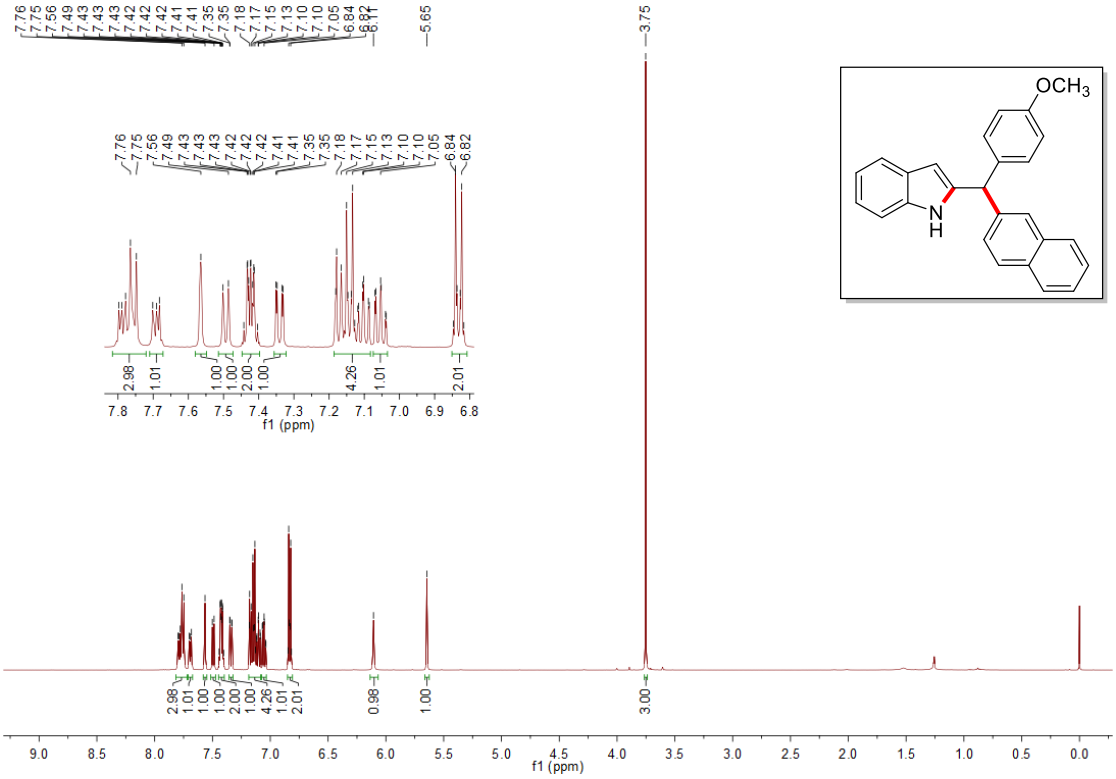
Supplementary Figure 109. ^{1}H NMR Spectrum of 2v (500 MHz, $CDCl_3$)



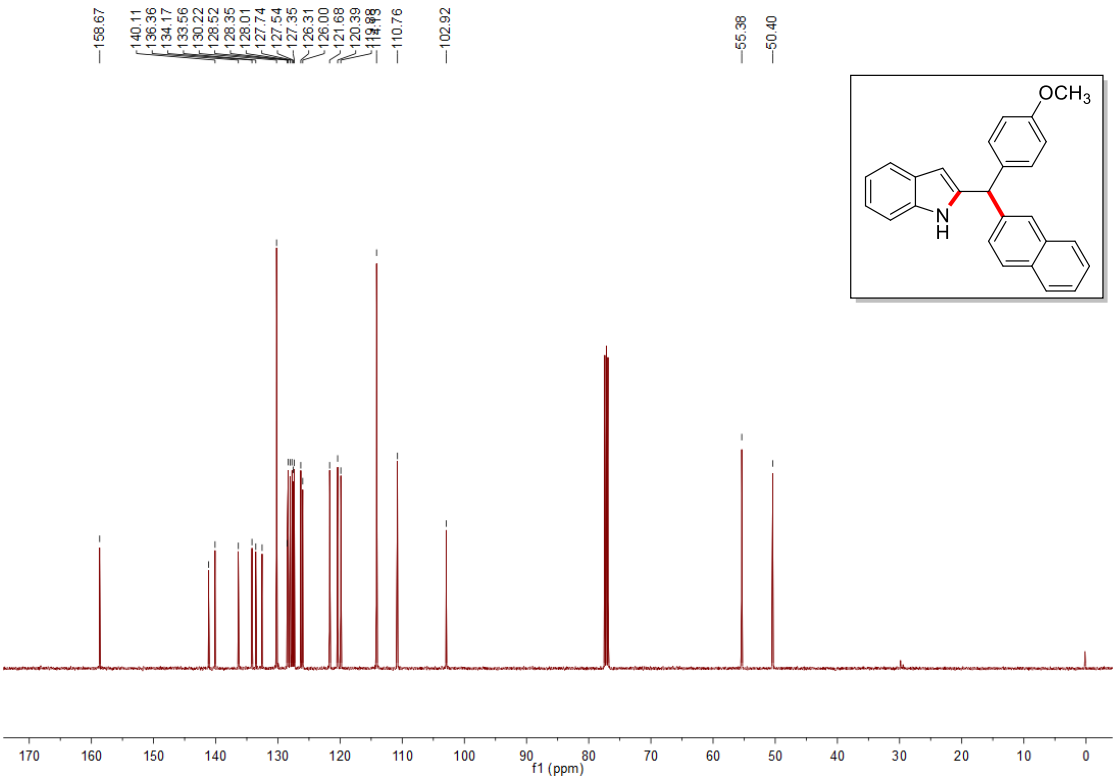
Supplementary Figure 110. ^{13}C NMR Spectrum of 2v (125 MHz, $CDCl_3$)



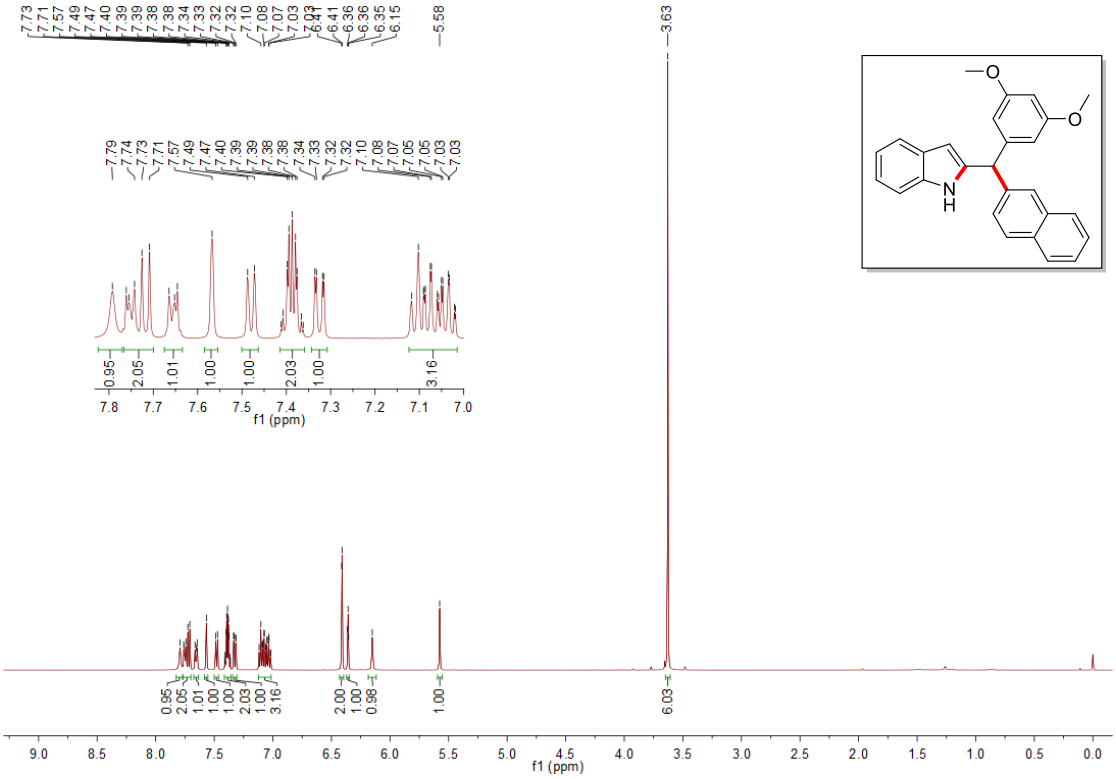
Supplementary Figure 111. ^{1}H NMR Spectrum of 2w (500 MHz, $CDCl_3$)



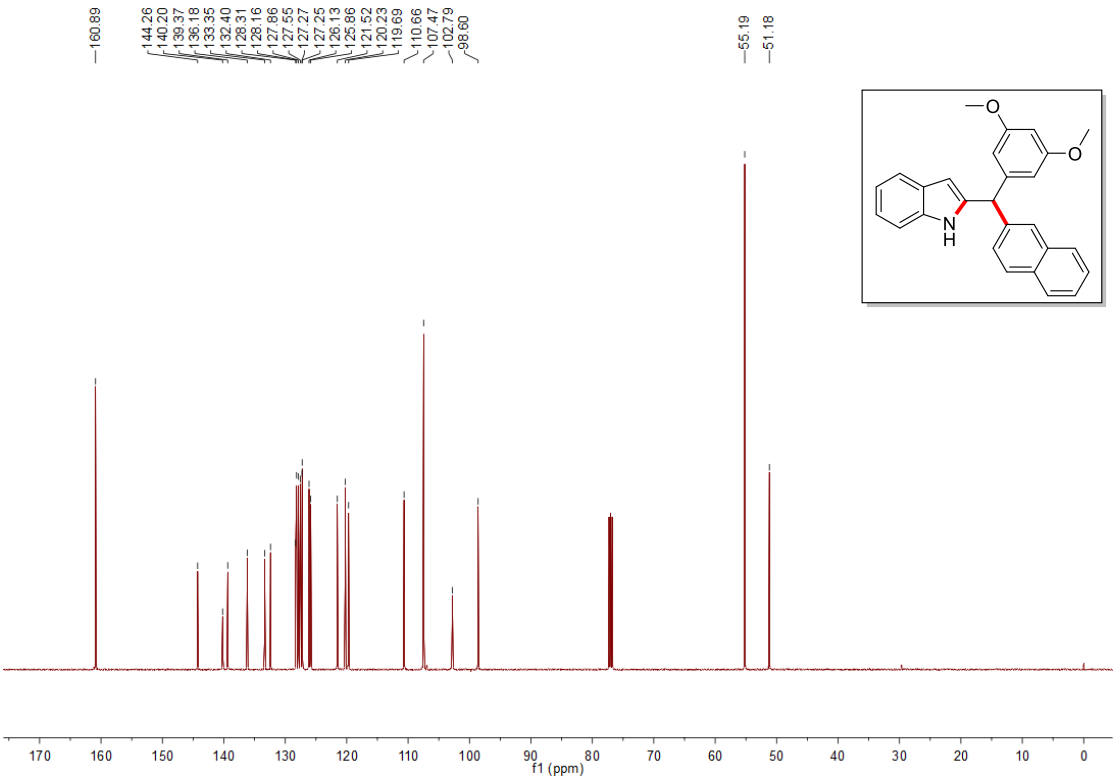
Supplementary Figure 112. ^{13}C NMR Spectrum of 2w (125 MHz, $CDCl_3$)



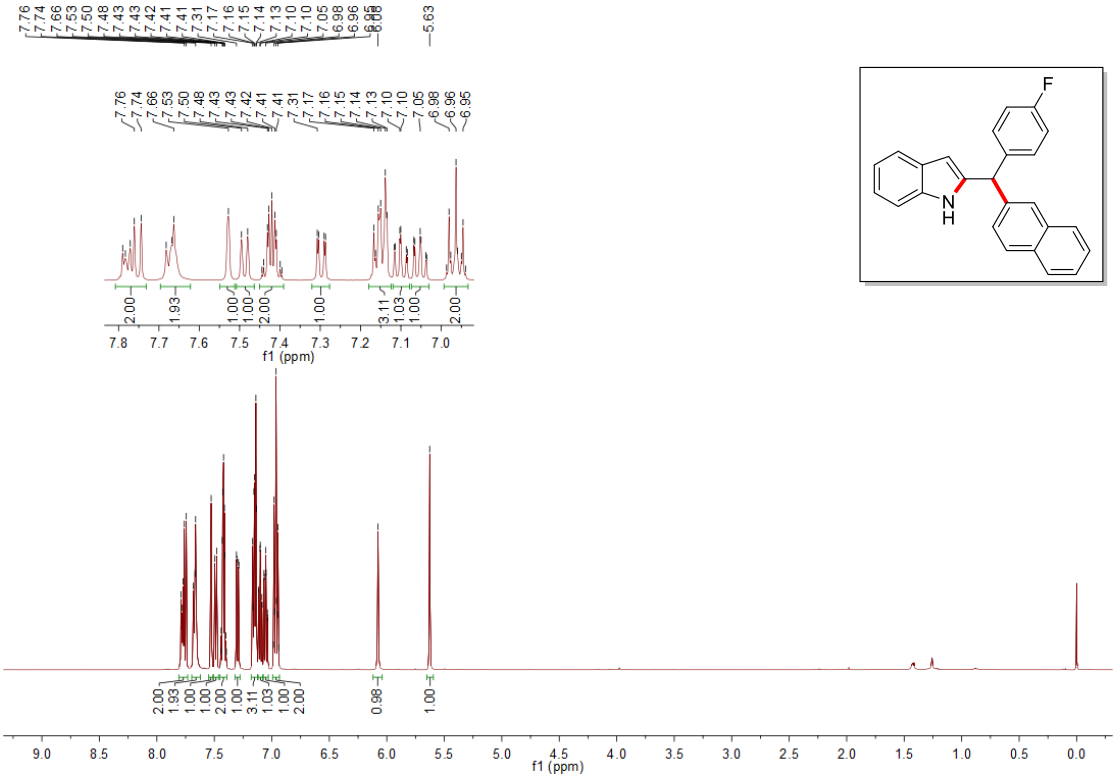
Supplementary Figure 113. ^{1}H NMR Spectrum of 2x (500 MHz, $CDCl_3$)



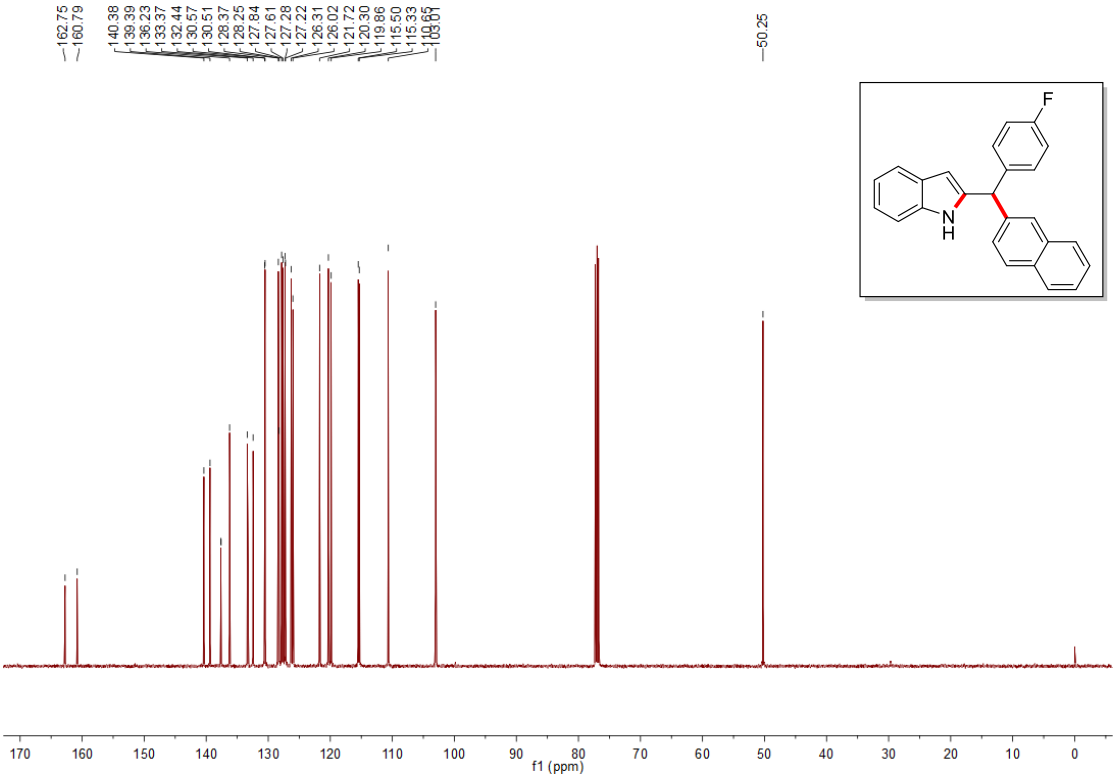
Supplementary Figure 114. ^{13}C NMR Spectrum of 2x (125 MHz, $CDCl_3$)



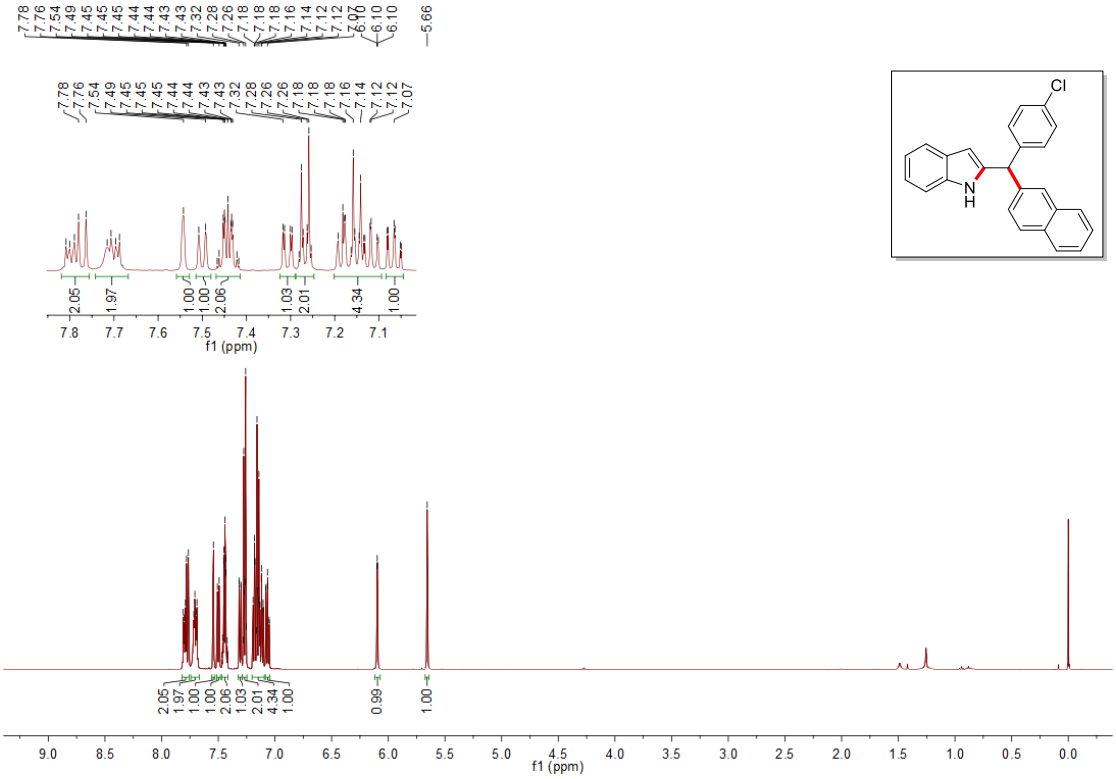
Supplementary Figure 115. ^{1}H NMR Spectrum of 2y (500 MHz, $CDCl_3$)



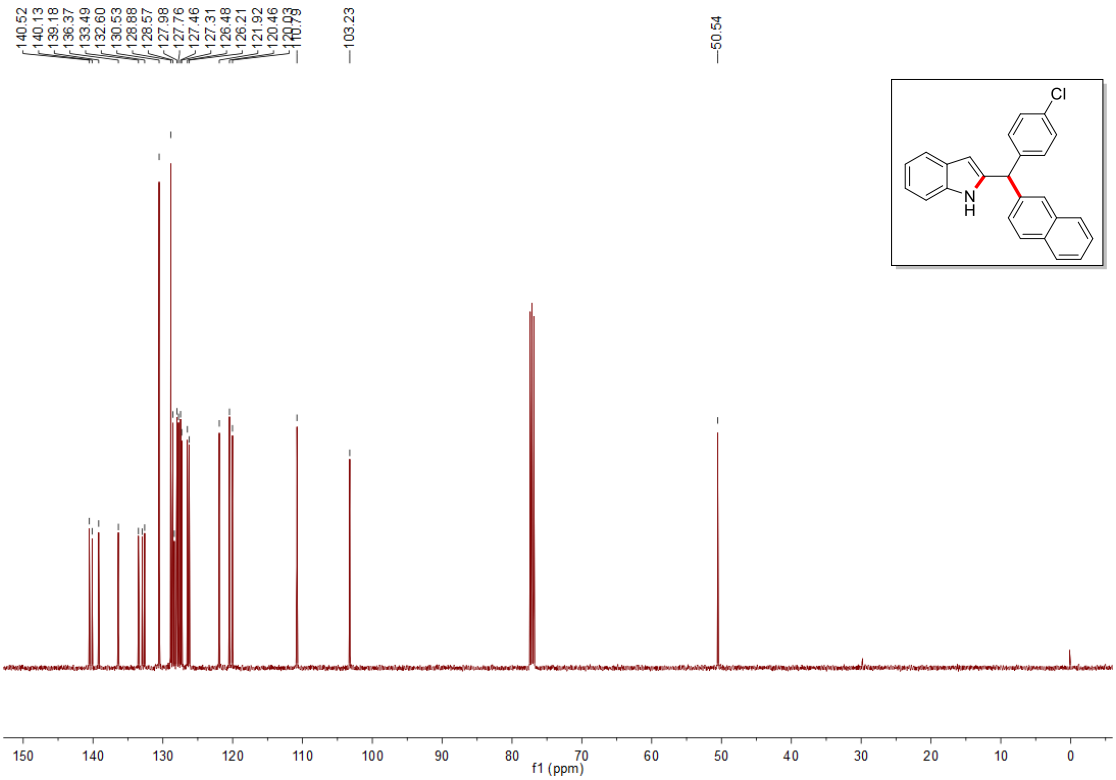
Supplementary Figure 116. ^{13}C NMR Spectrum of 2y (125 MHz, $CDCl_3$)



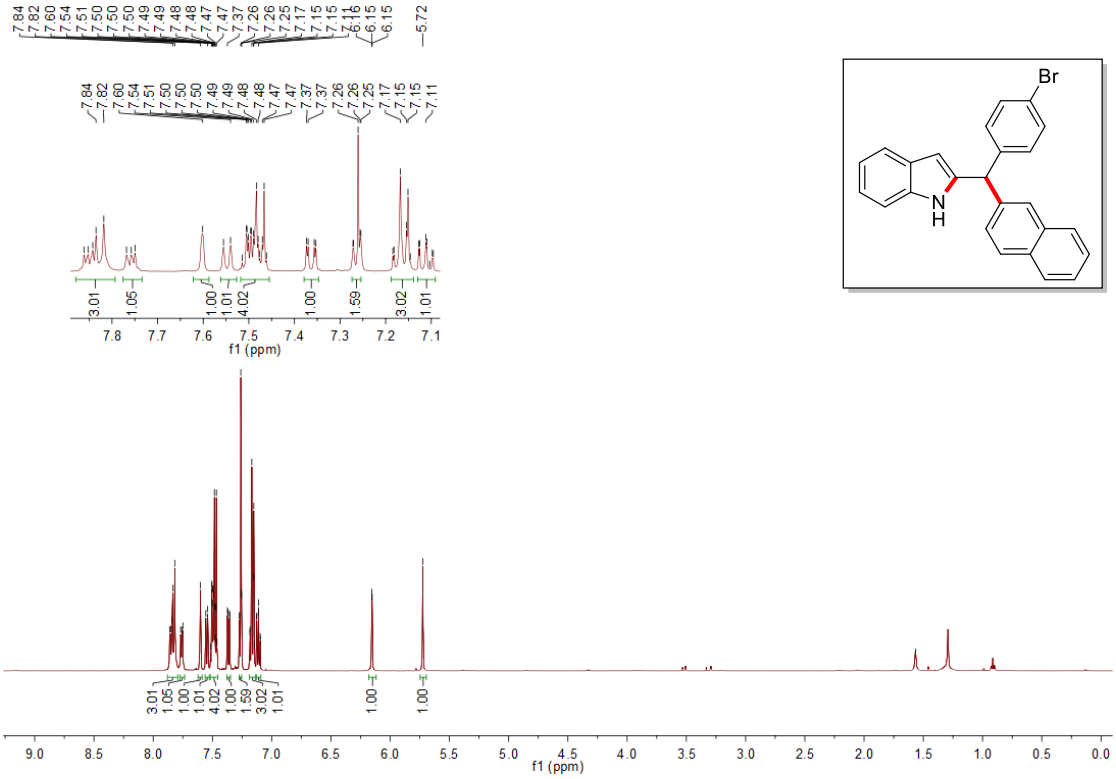
Supplementary Figure 117. ^{1}H NMR Spectrum of 2z (500 MHz, $CDCl_3$)



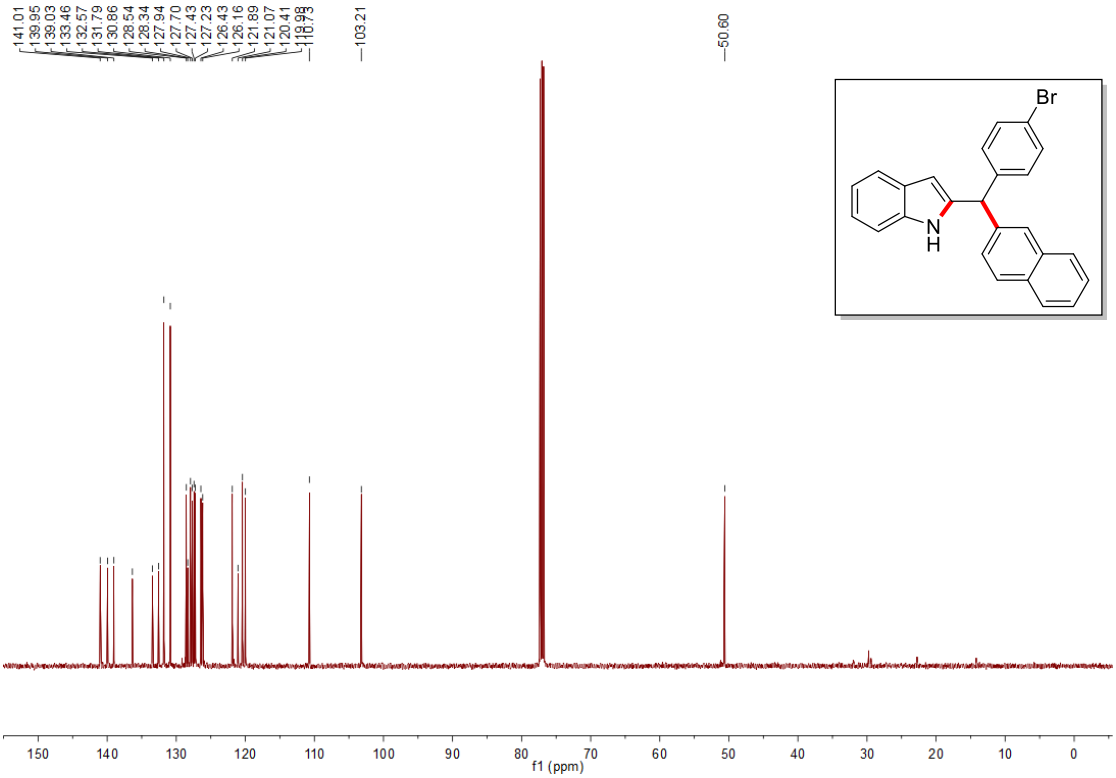
Supplementary Figure 118. ^{13}C NMR Spectrum of 2z (125 MHz, $CDCl_3$)



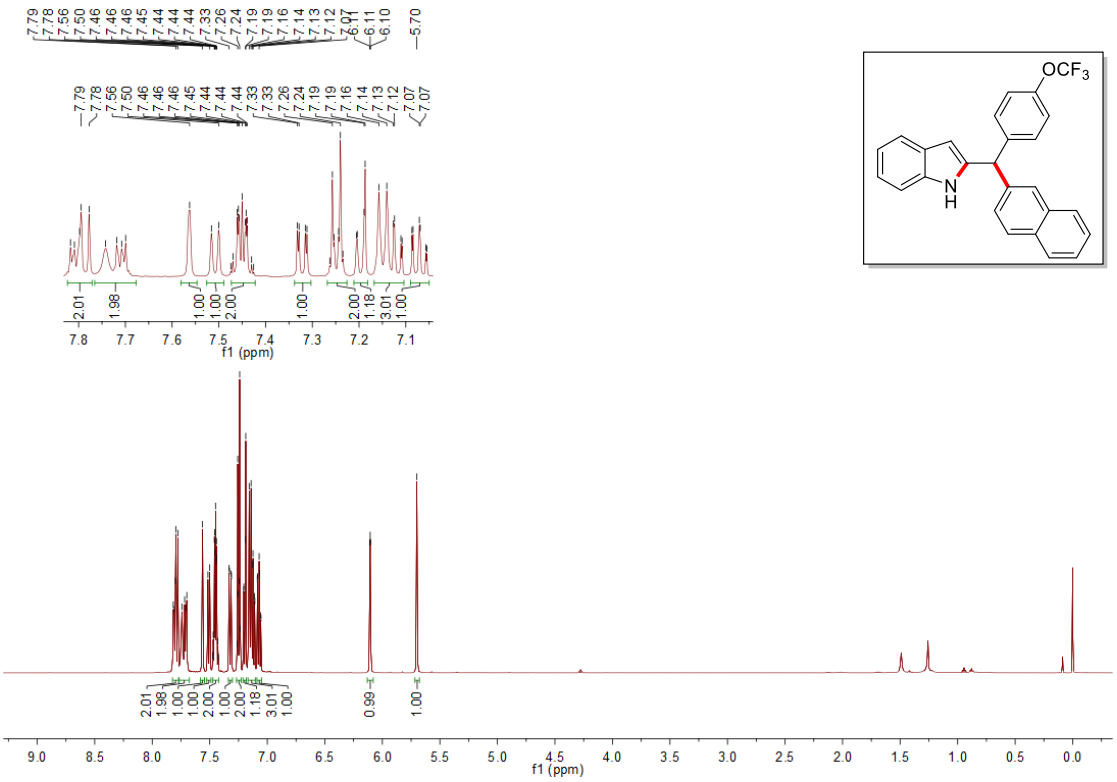
Supplementary Figure 119. ^{1}H NMR Spectrum of 2aa (500 MHz, $CDCl_3$)



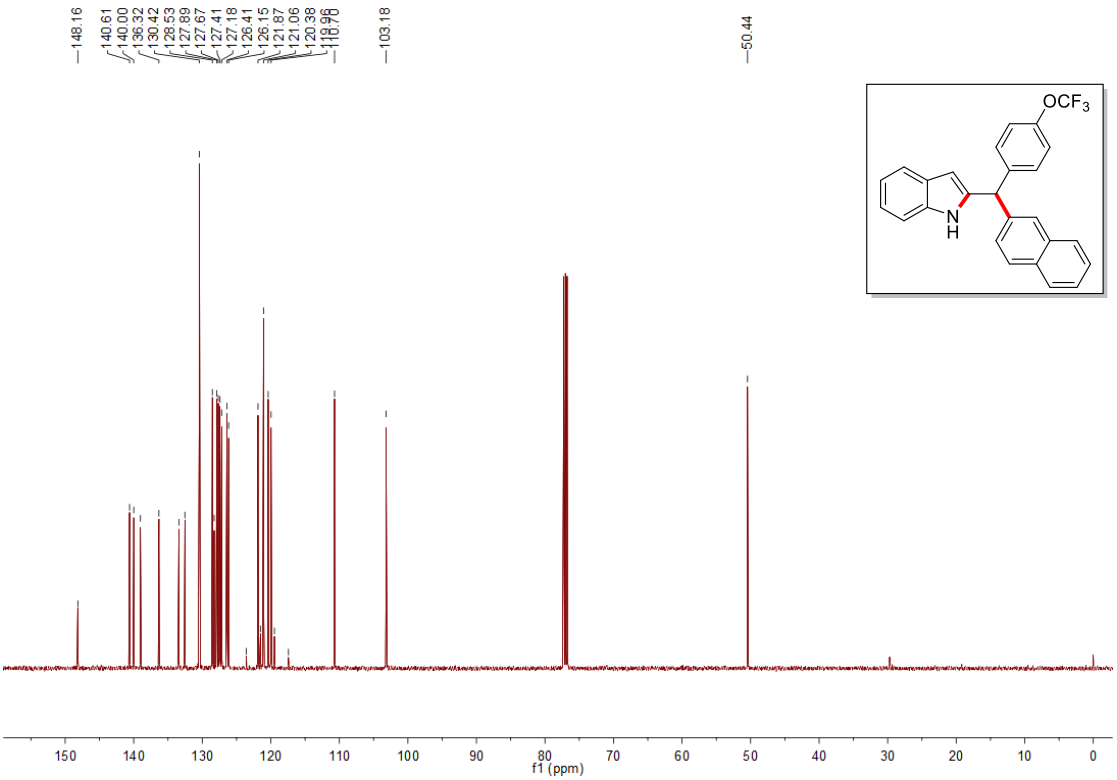
Supplementary Figure 120. ^{13}C NMR Spectrum of 2aa (125 MHz, $CDCl_3$)



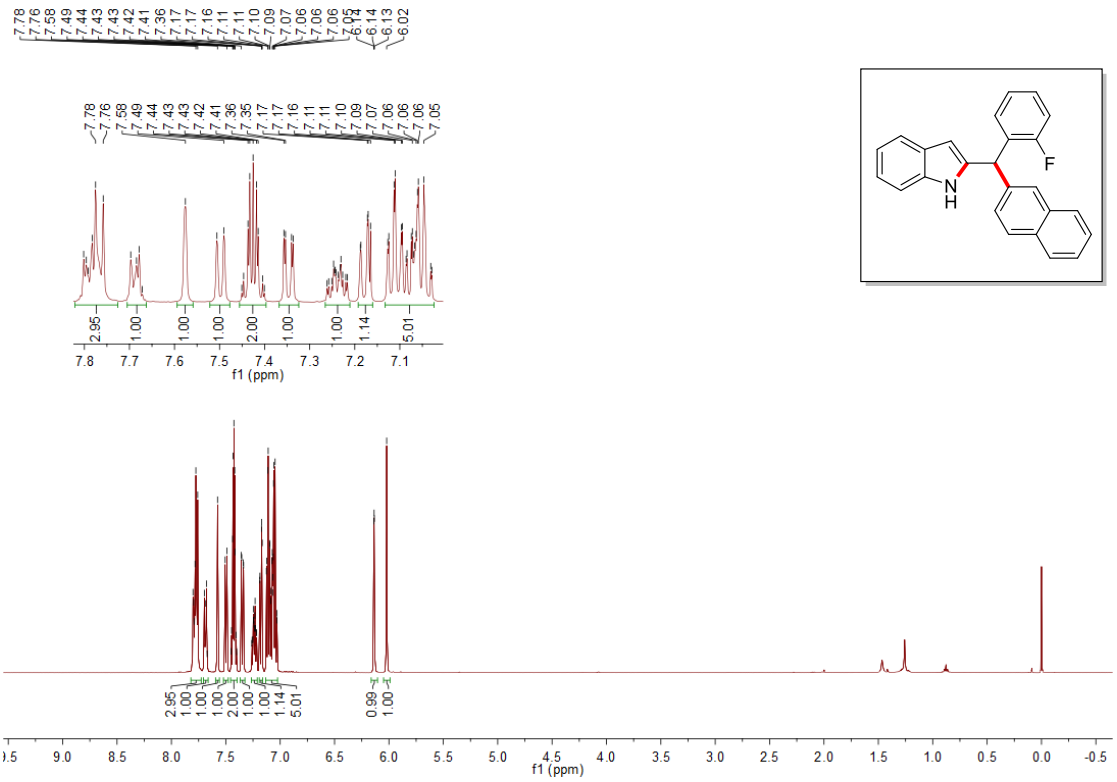
Supplementary Figure 121. ^{1}H NMR Spectrum of 2ab (500 MHz, $CDCl_3$)



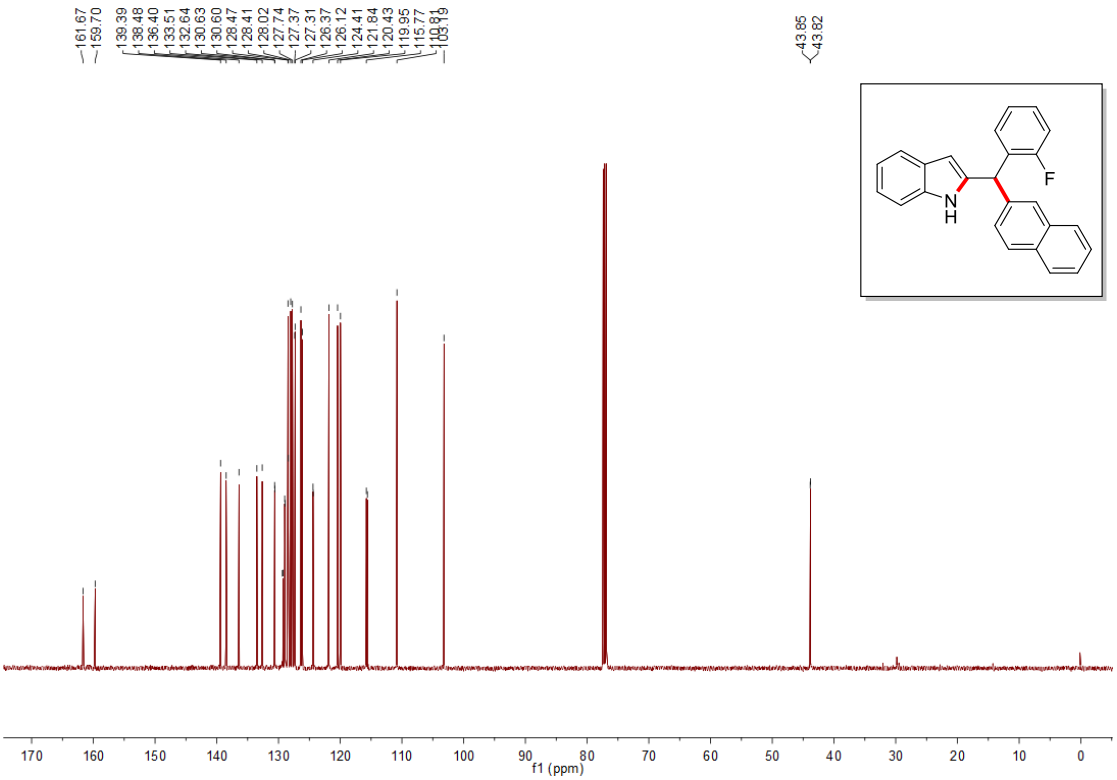
Supplementary Figure 122. ^{13}C NMR Spectrum of 2ab (125 MHz, $CDCl_3$)



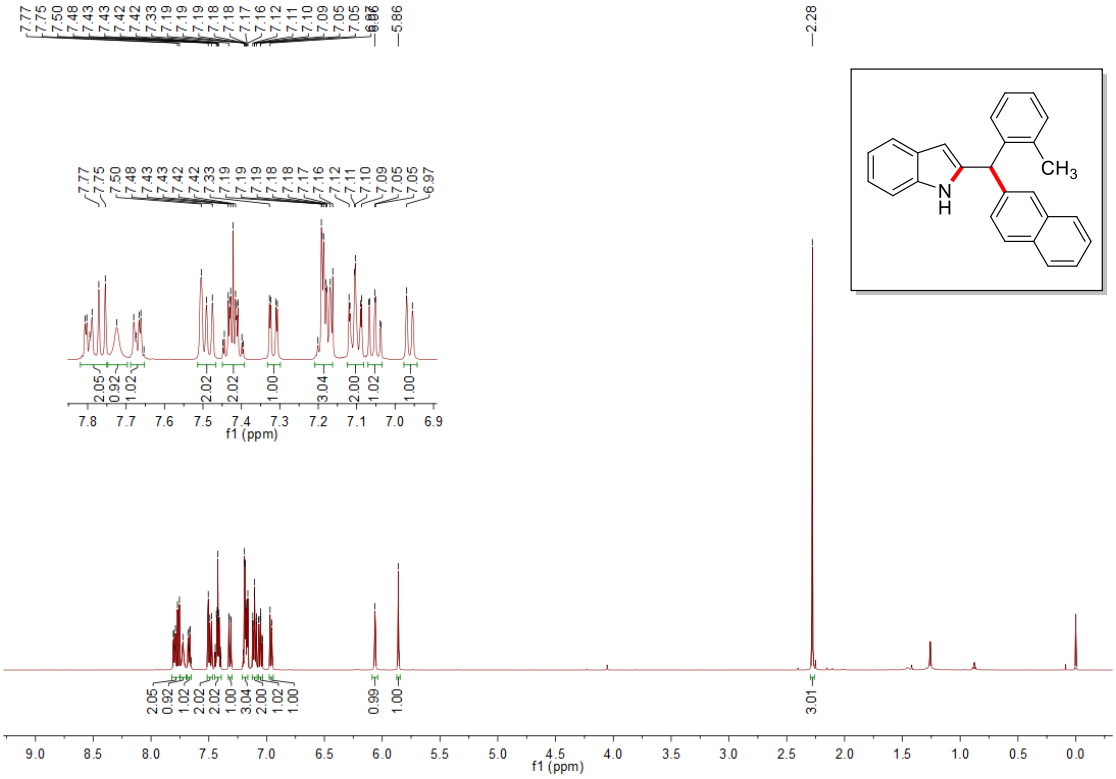
Supplementary Figure 123. ^{1}H NMR Spectrum of 2ac (500 MHz, $CDCl_3$)



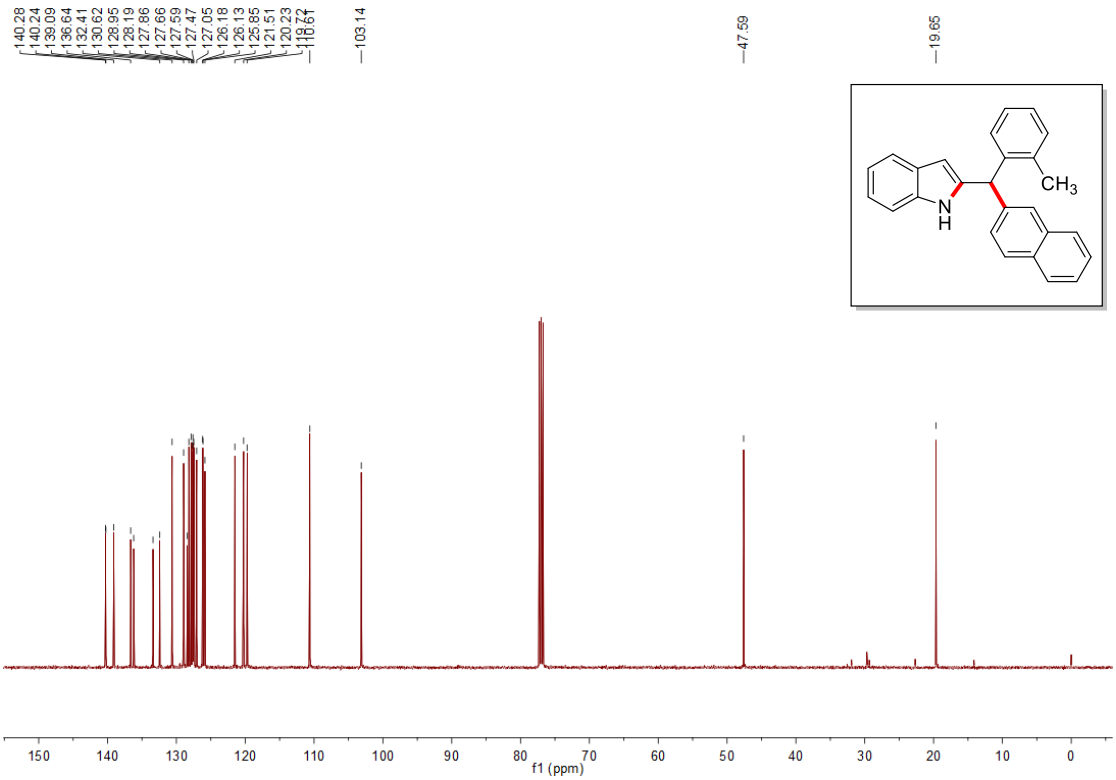
Supplementary Figure 124. ^{13}C NMR Spectrum of 2ac (125 MHz, $CDCl_3$)



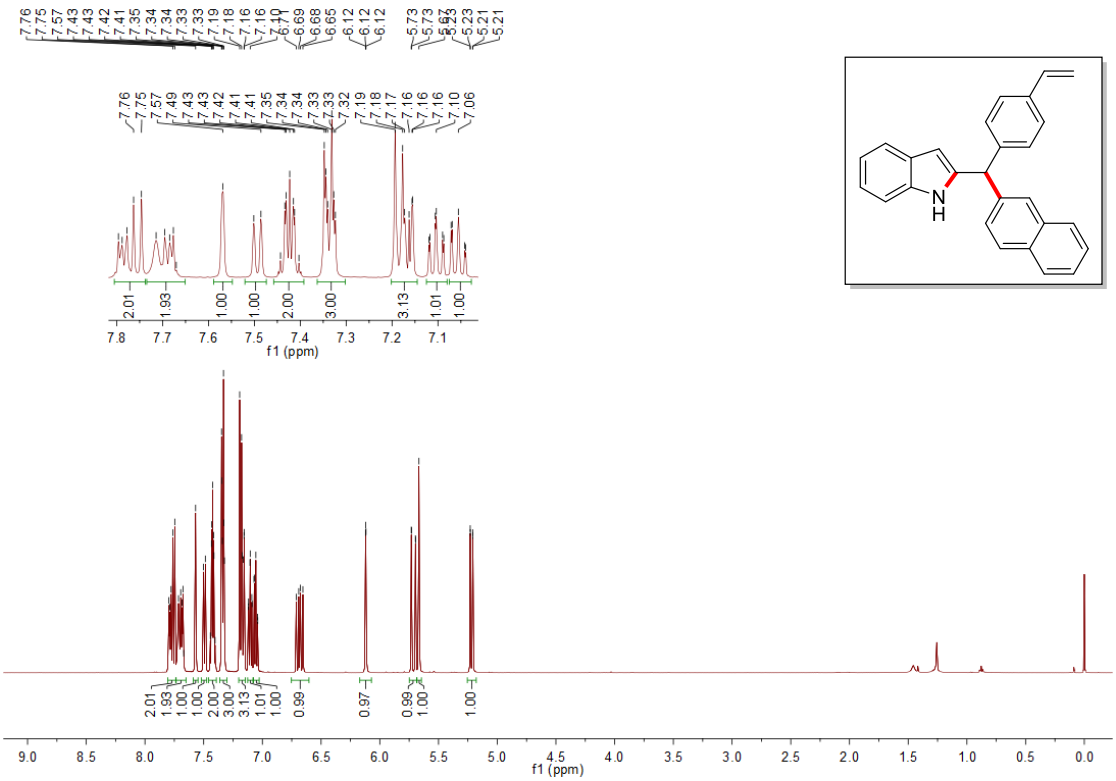
Supplementary Figure 125. ^{1}H NMR Spectrum of 2ad (500 MHz, $CDCl_3$)



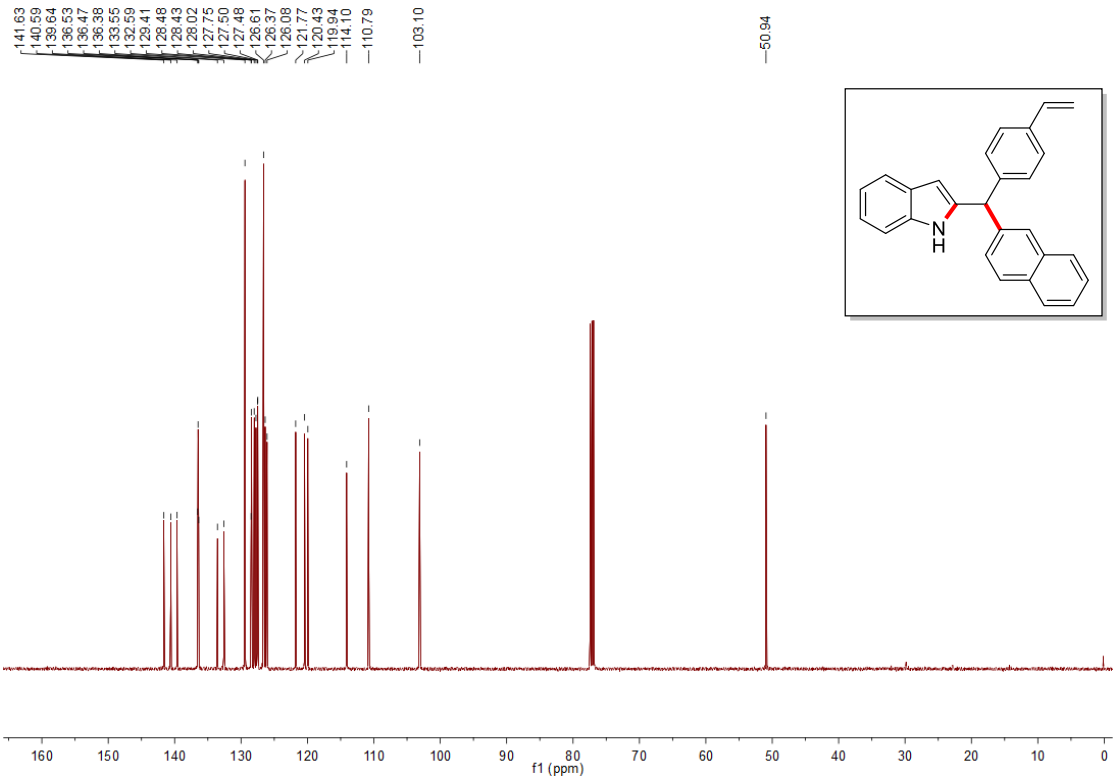
Supplementary Figure 126. ^{13}C NMR Spectrum of 2ad (125 MHz, $CDCl_3$)



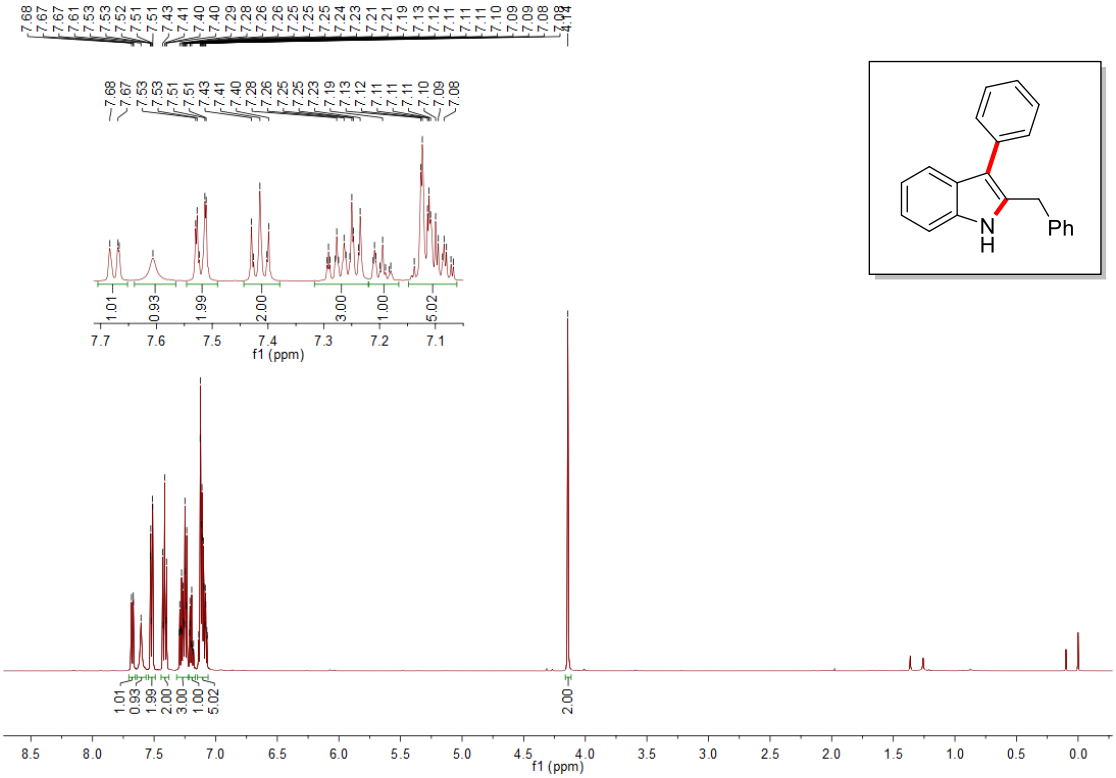
Supplementary Figure 127. ^{1}H NMR Spectrum of 2ae (500 MHz, $CDCl_3$)



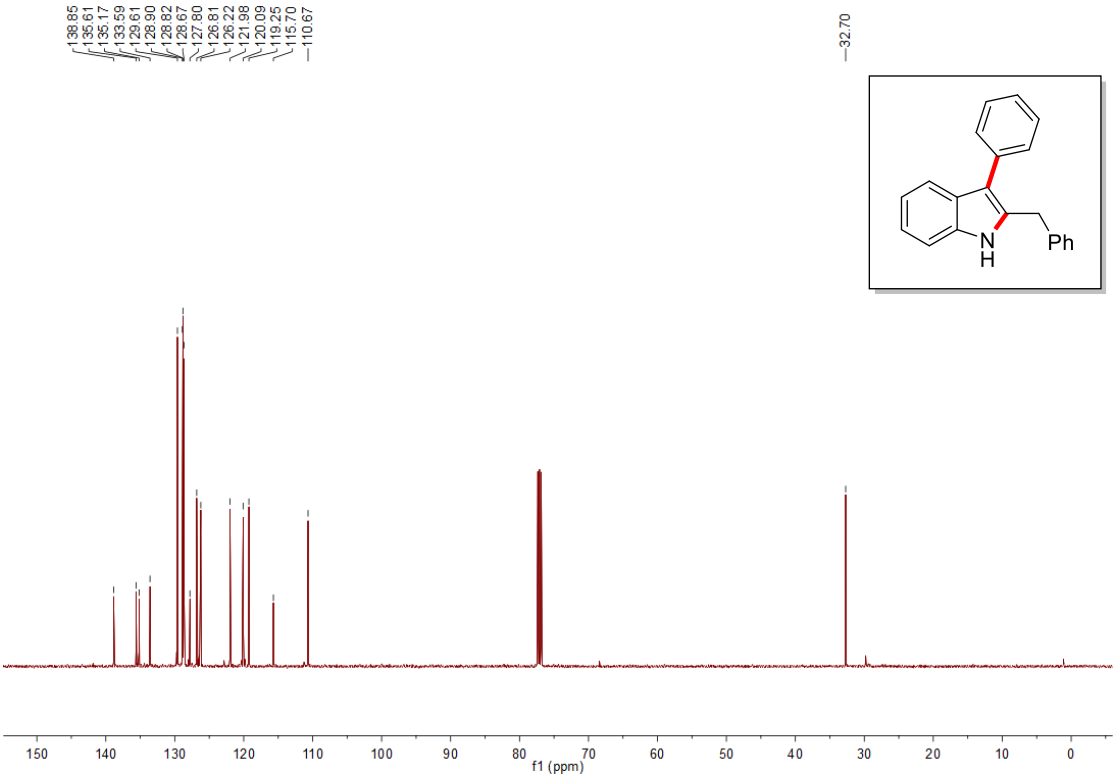
Supplementary Figure 128. ^{13}C NMR Spectrum of 2ae (125 MHz, $CDCl_3$)



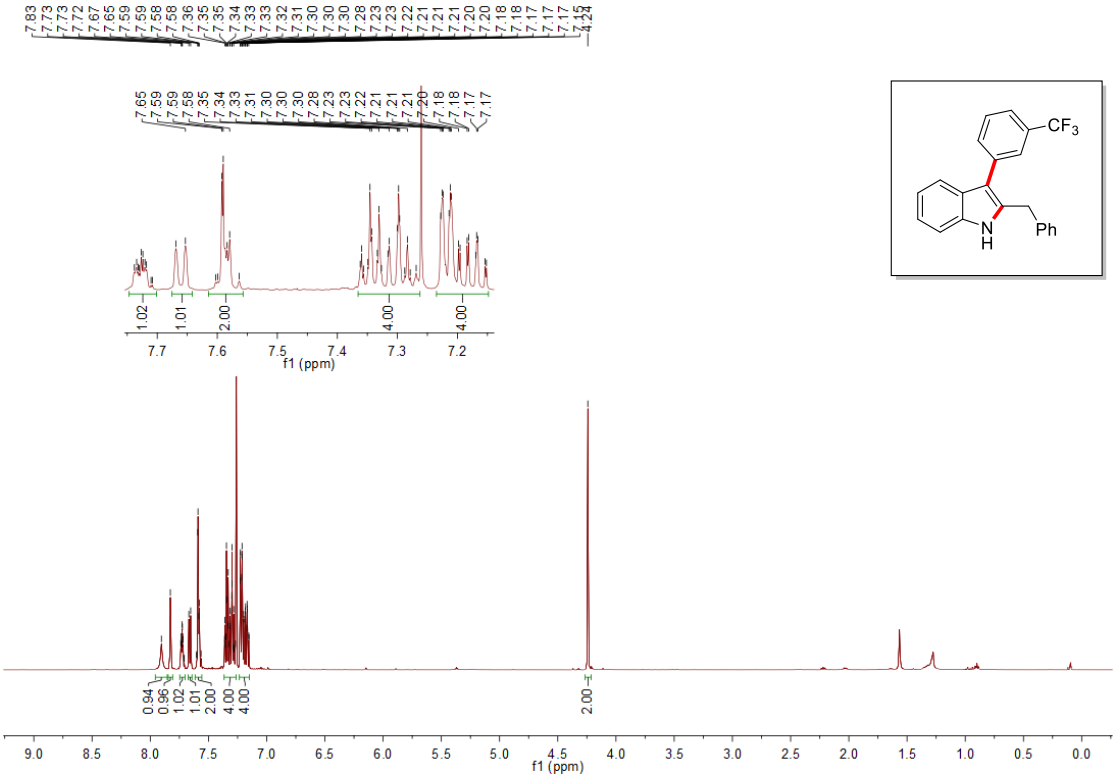
Supplementary Figure 129. ^{1}H NMR Spectrum of 3a (500 MHz, $CDCl_3$)



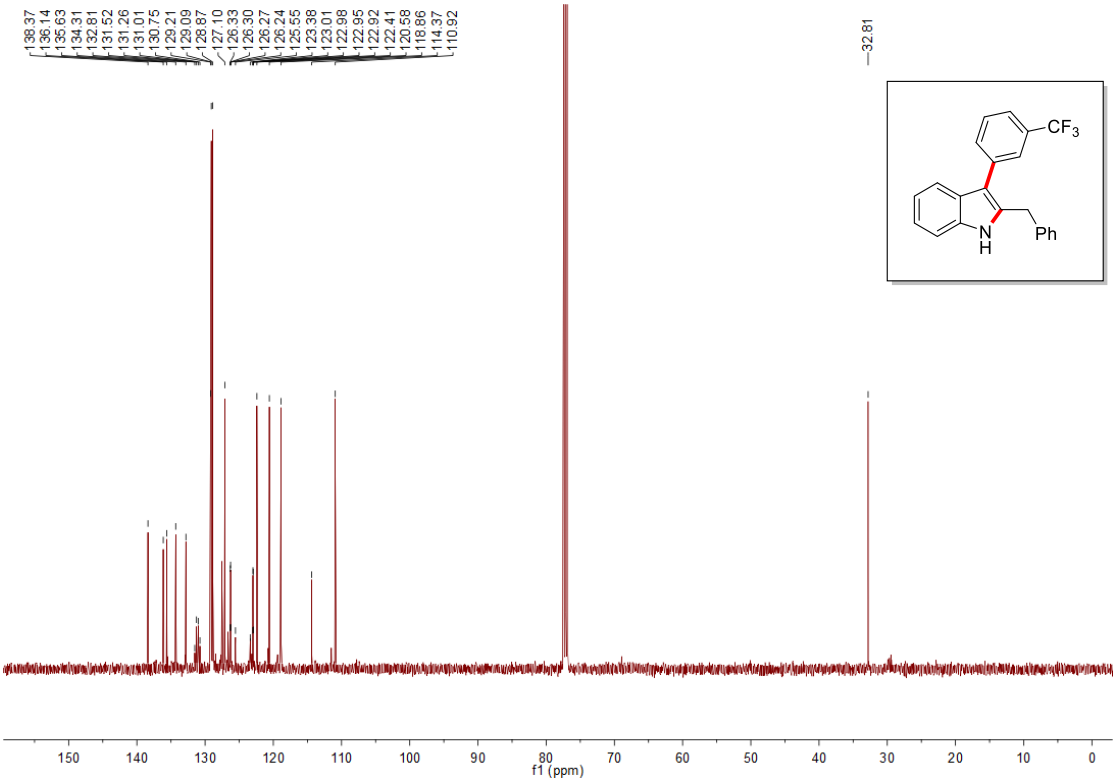
Supplementary Figure 130. ^{13}C NMR Spectrum of 3a (125 MHz, $CDCl_3$)



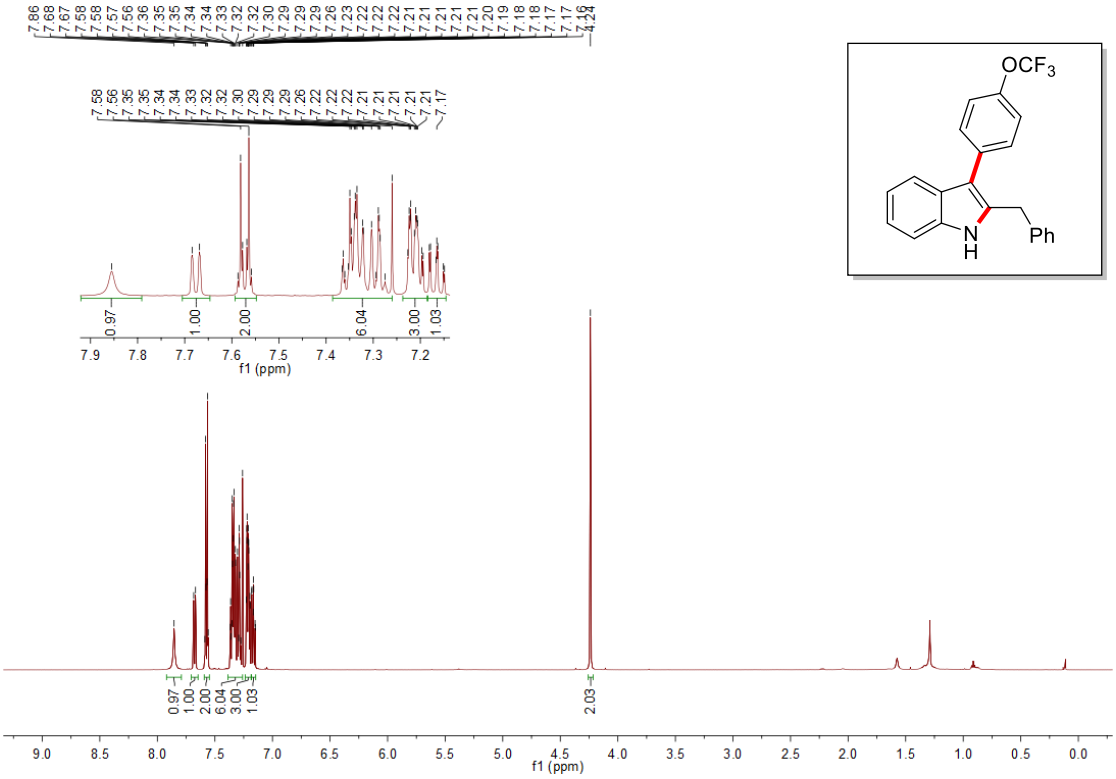
Supplementary Figure 131. ^{1}H NMR Spectrum of 3b (500 MHz, $CDCl_3$)



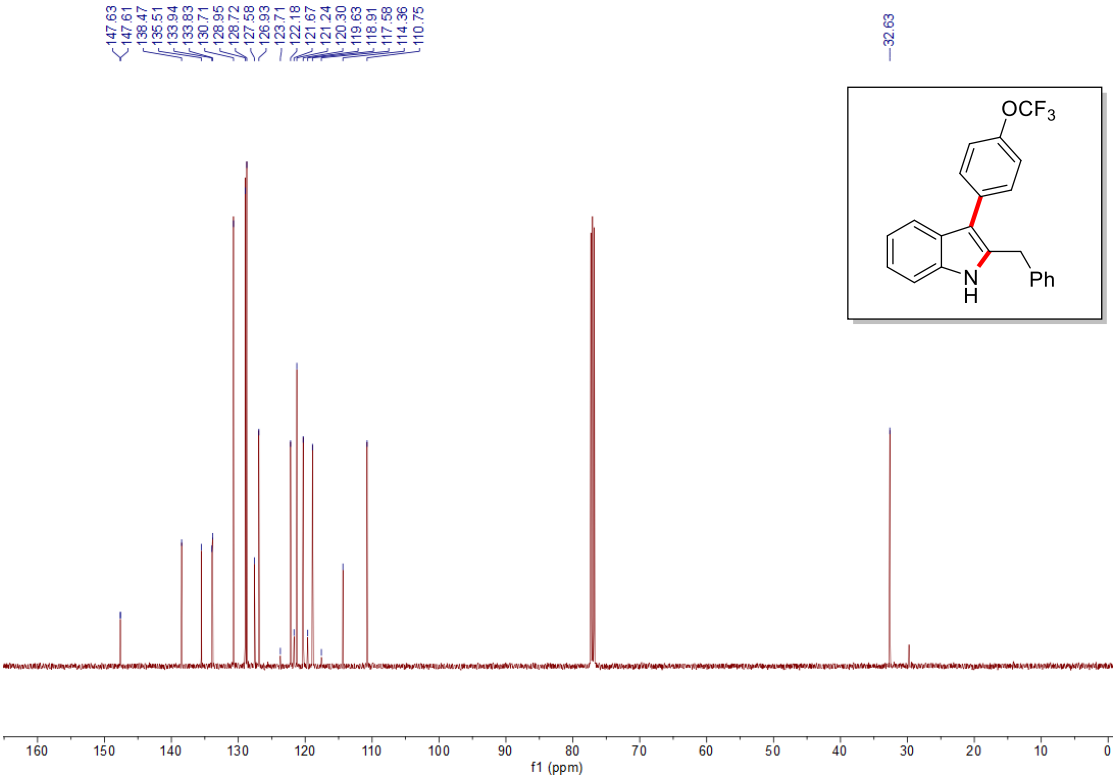
Supplementary Figure 132. ^{13}C NMR Spectrum of 3b (125 MHz, $CDCl_3$)



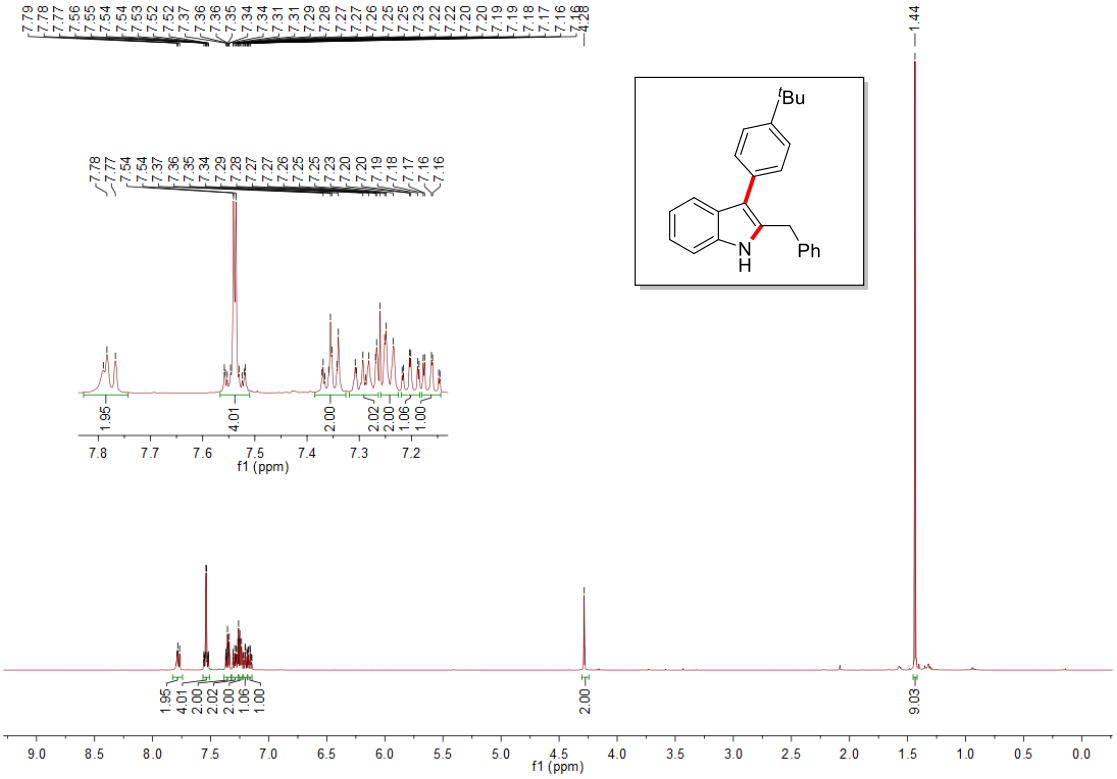
Supplementary Figure 133. ^{1}H NMR Spectrum of 3c (500 MHz, $CDCl_3$)



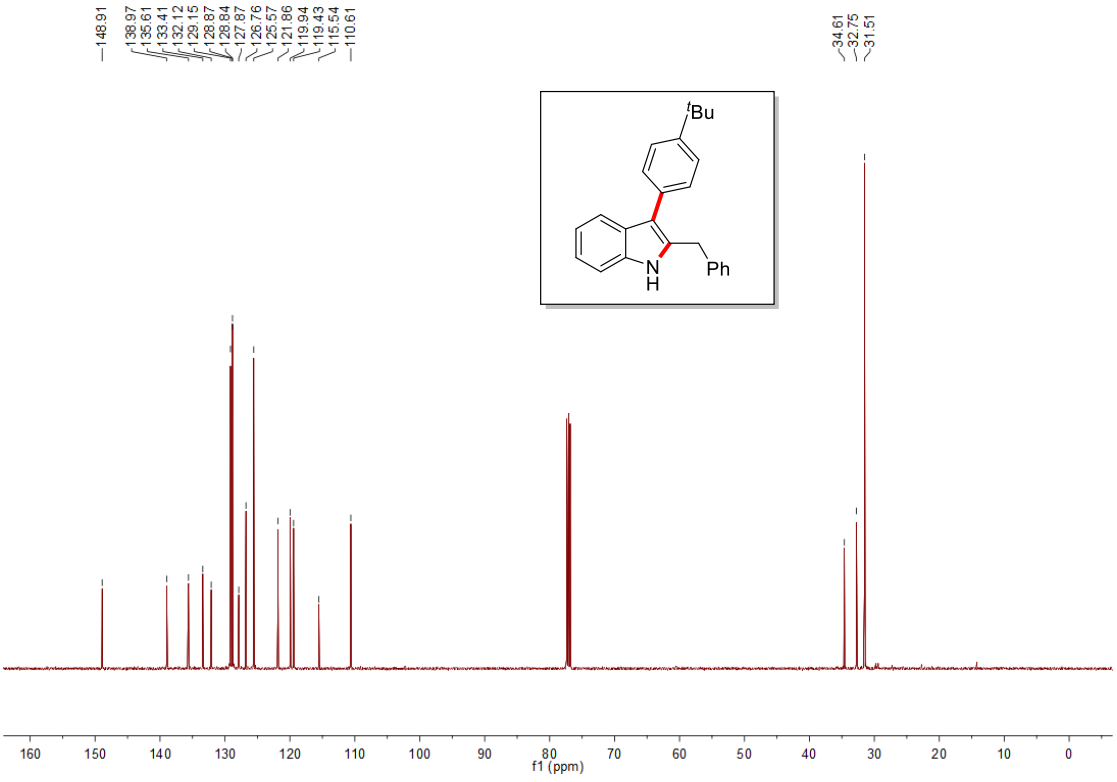
Supplementary Figure 134. ^{13}C NMR Spectrum of 3c (125 MHz, $CDCl_3$)



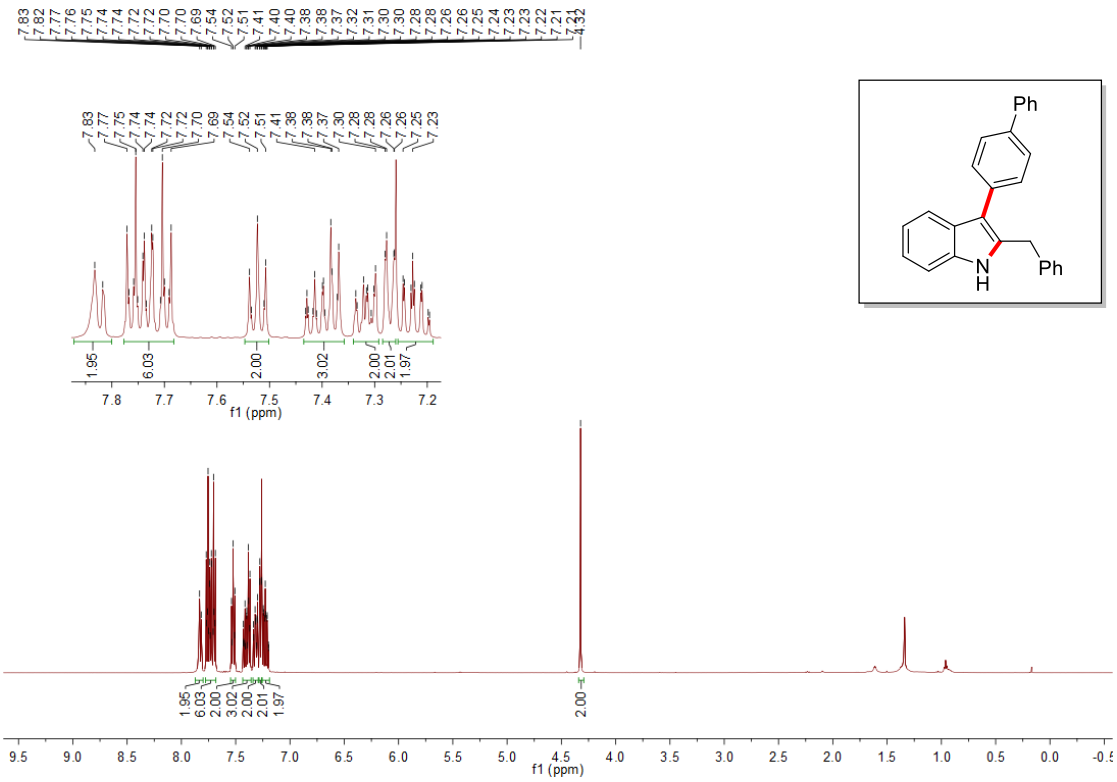
Supplementary Figure 135. ^{1}H NMR Spectrum of 3D (500 MHz, $CDCl_3$)



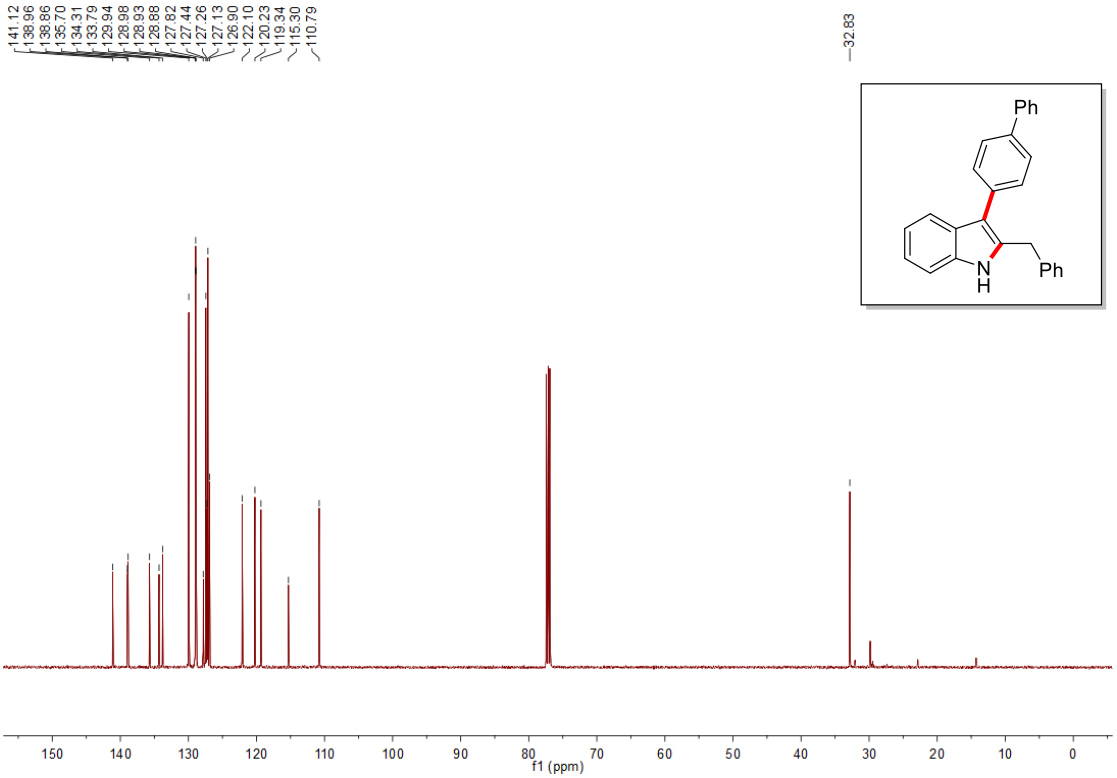
Supplementary Figure 136. ^{13}C NMR Spectrum of 3D (125 MHz, $CDCl_3$)



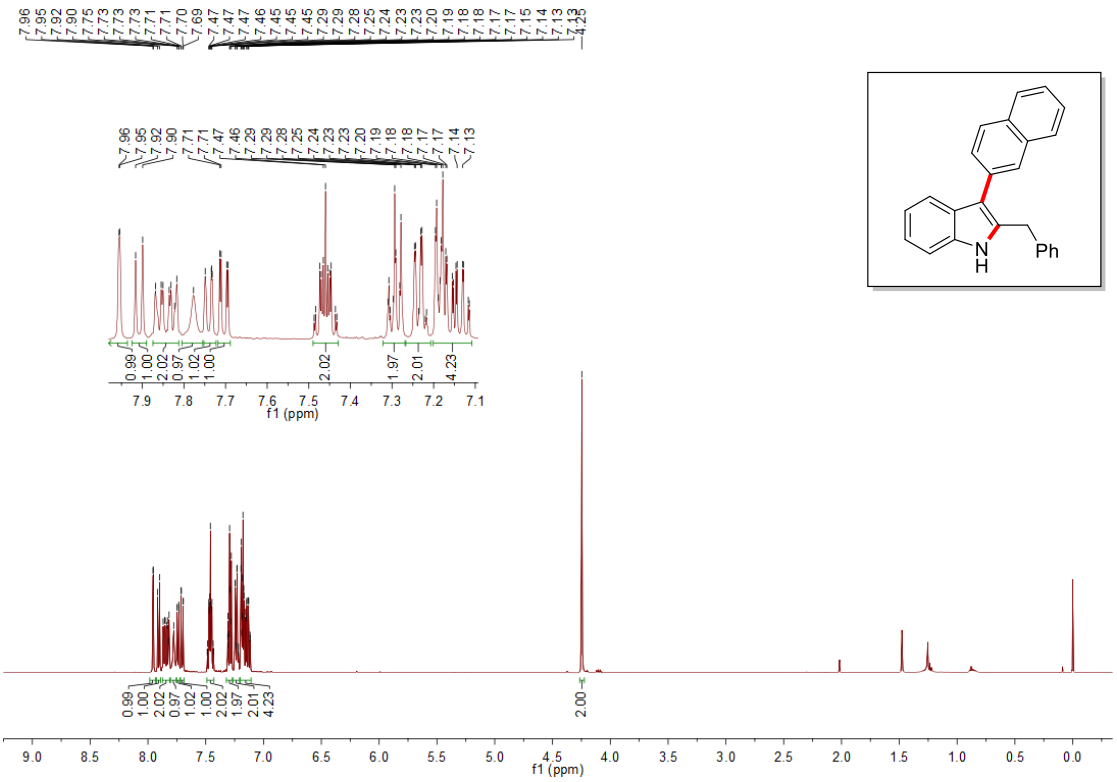
Supplementary Figure 137. ^{1}H NMR Spectrum of 3e (500 MHz, $CDCl_3$)



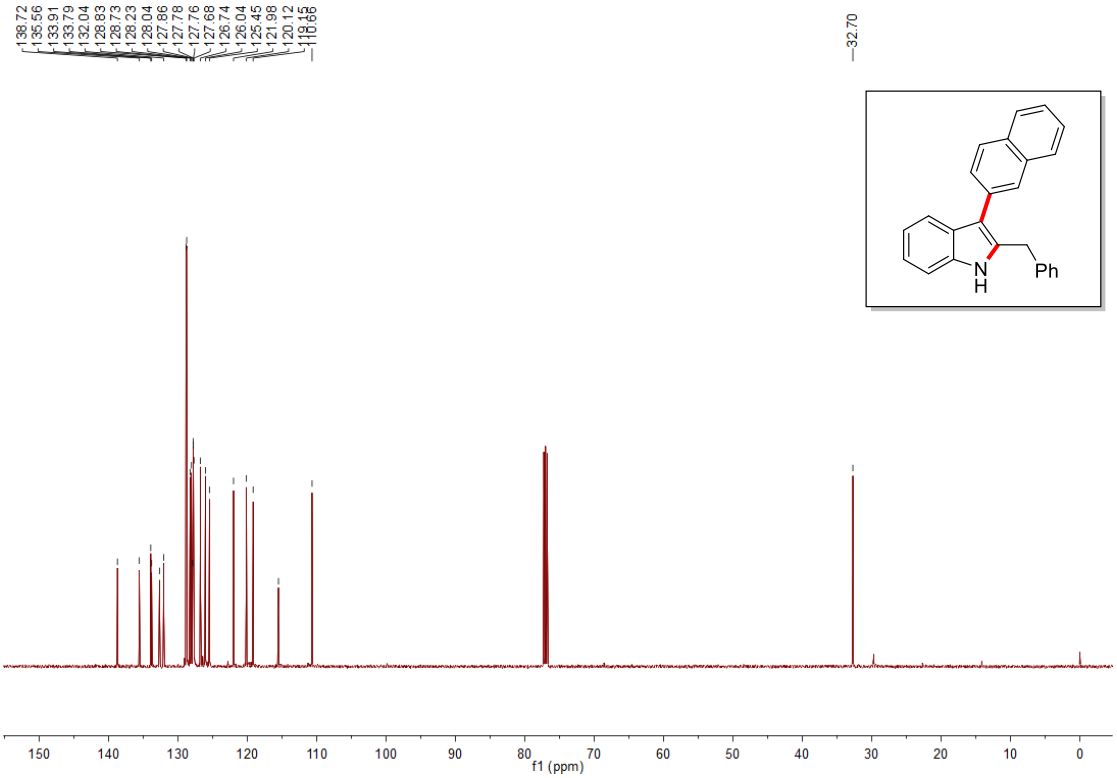
Supplementary Figure 138. ^{13}C NMR Spectrum of 3e (125 MHz, $CDCl_3$)



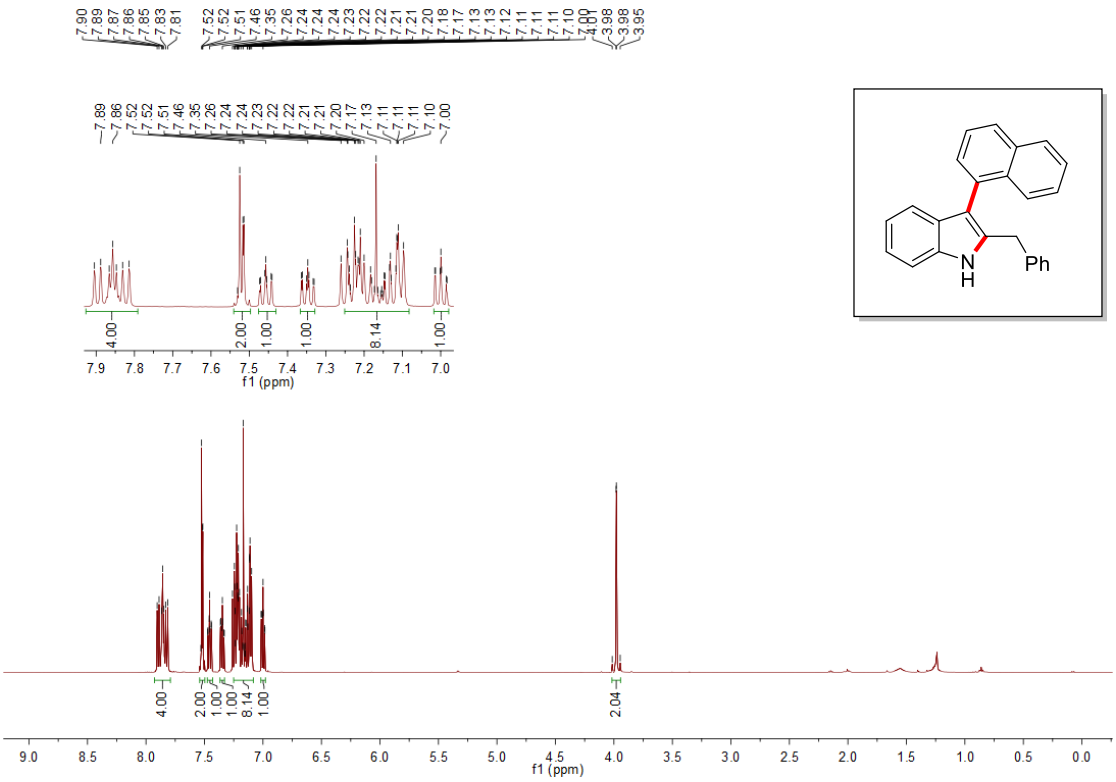
Supplementary Figure 139. ^{1}H NMR Spectrum of 3f (500 MHz, $CDCl_3$)



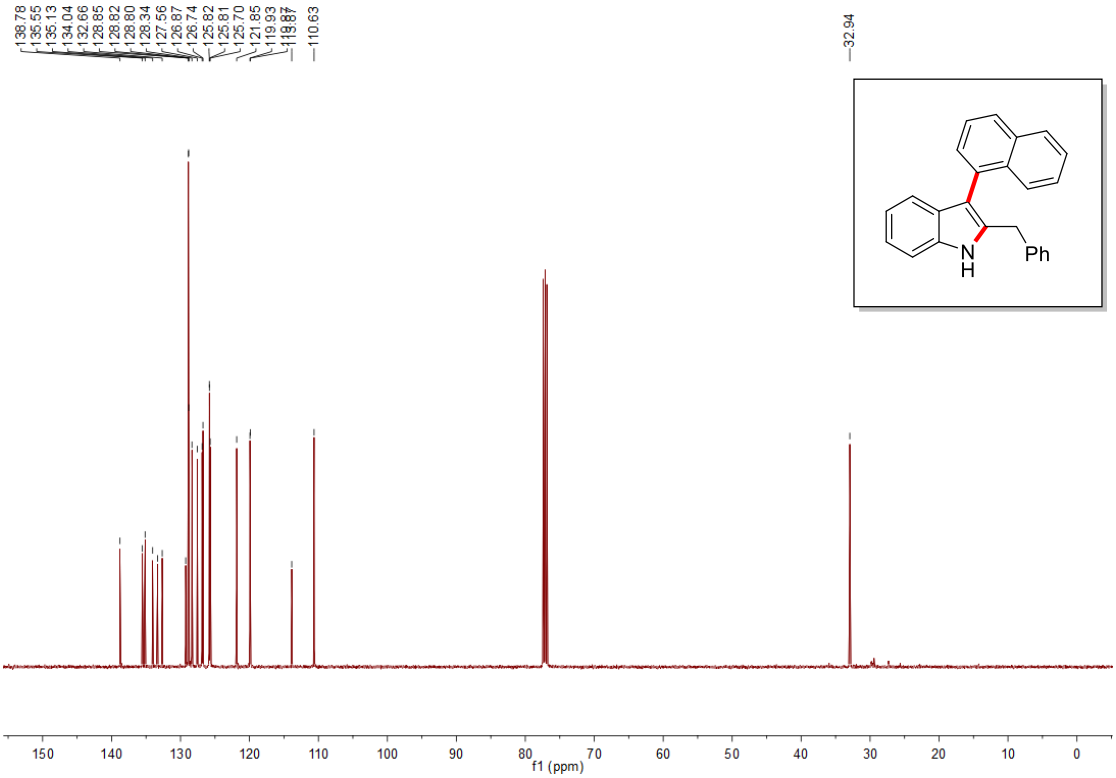
Supplementary Figure 140. ^{13}C NMR Spectrum of 3f (125 MHz, $CDCl_3$)



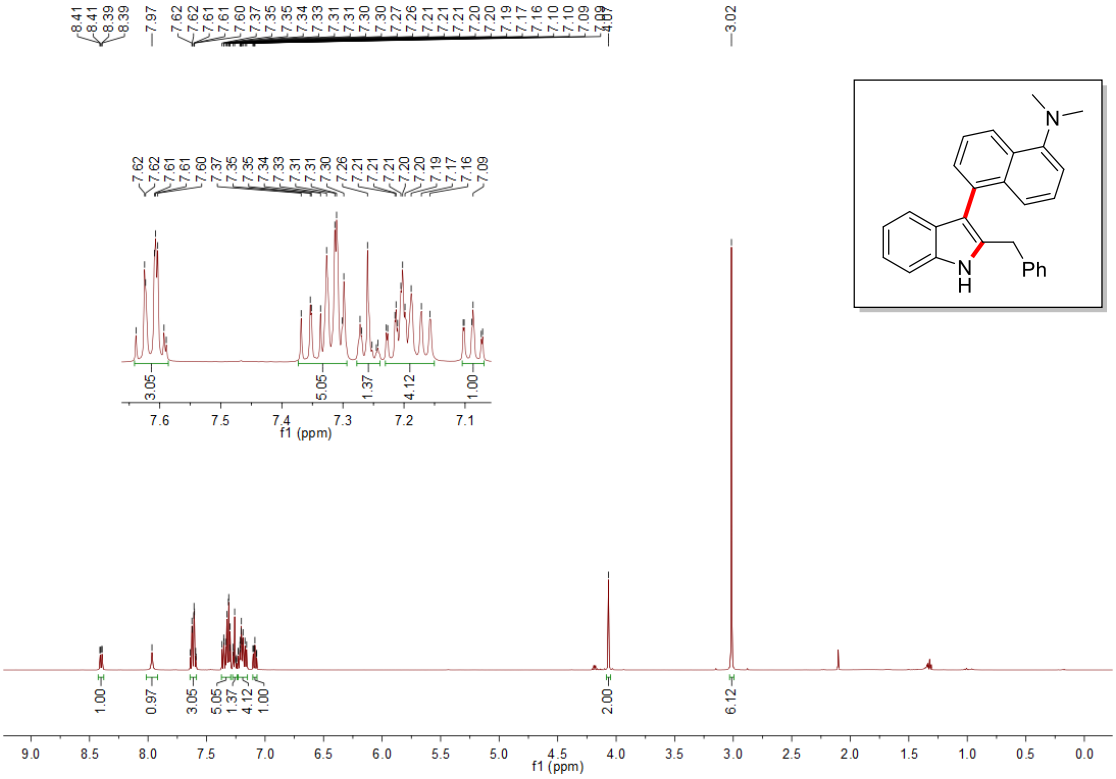
Supplementary Figure 141. ^{1}H NMR Spectrum of 3g (500 MHz, $CDCl_3$)



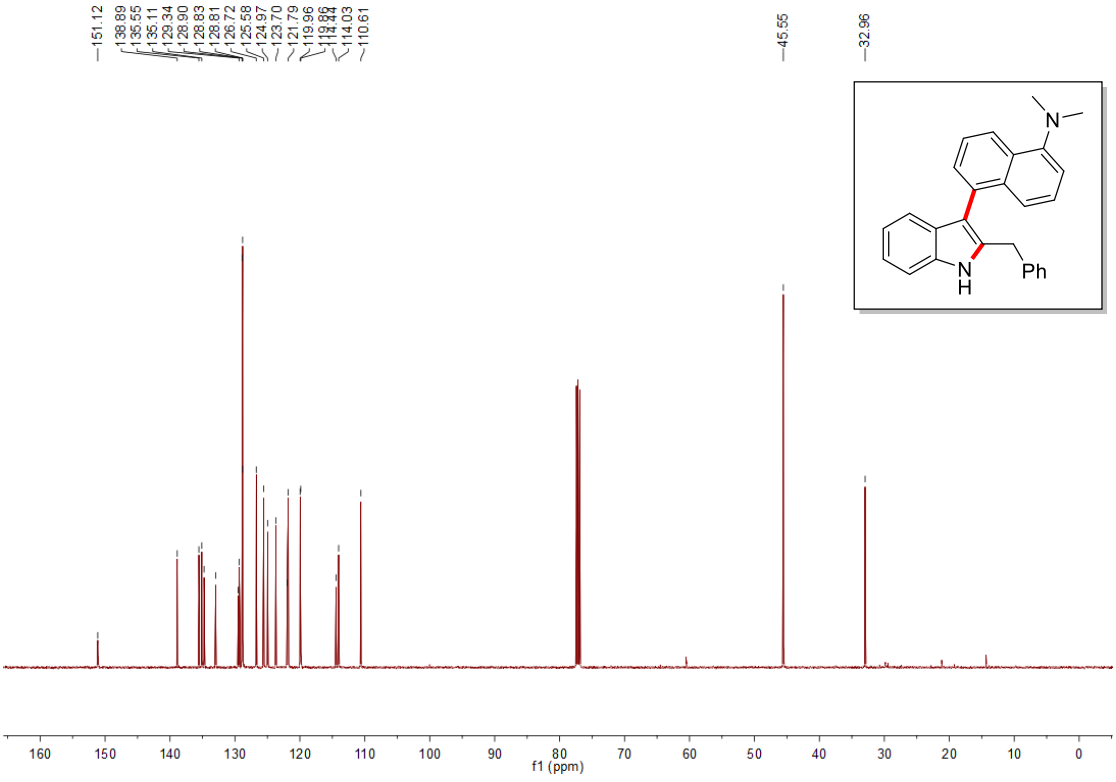
Supplementary Figure 142. ^{13}C NMR Spectrum of 3g (125 MHz, $CDCl_3$)



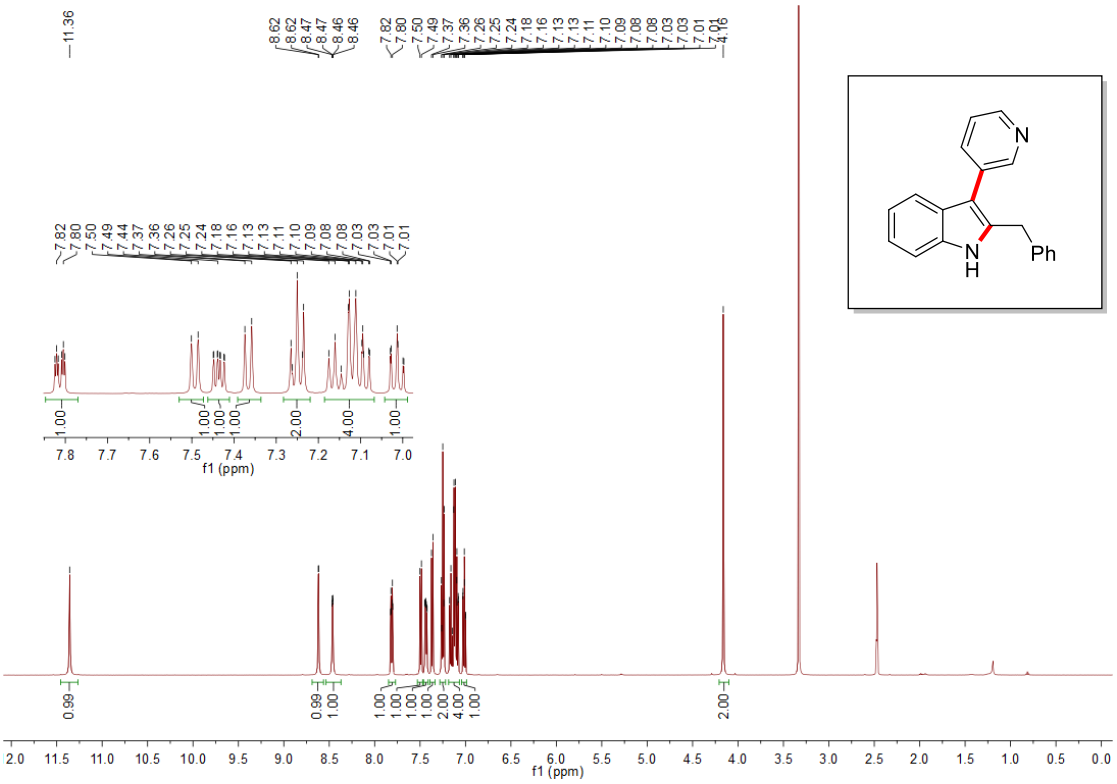
Supplementary Figure 143. ^{1}H NMR Spectrum of 3h (500 MHz, $CDCl_3$)



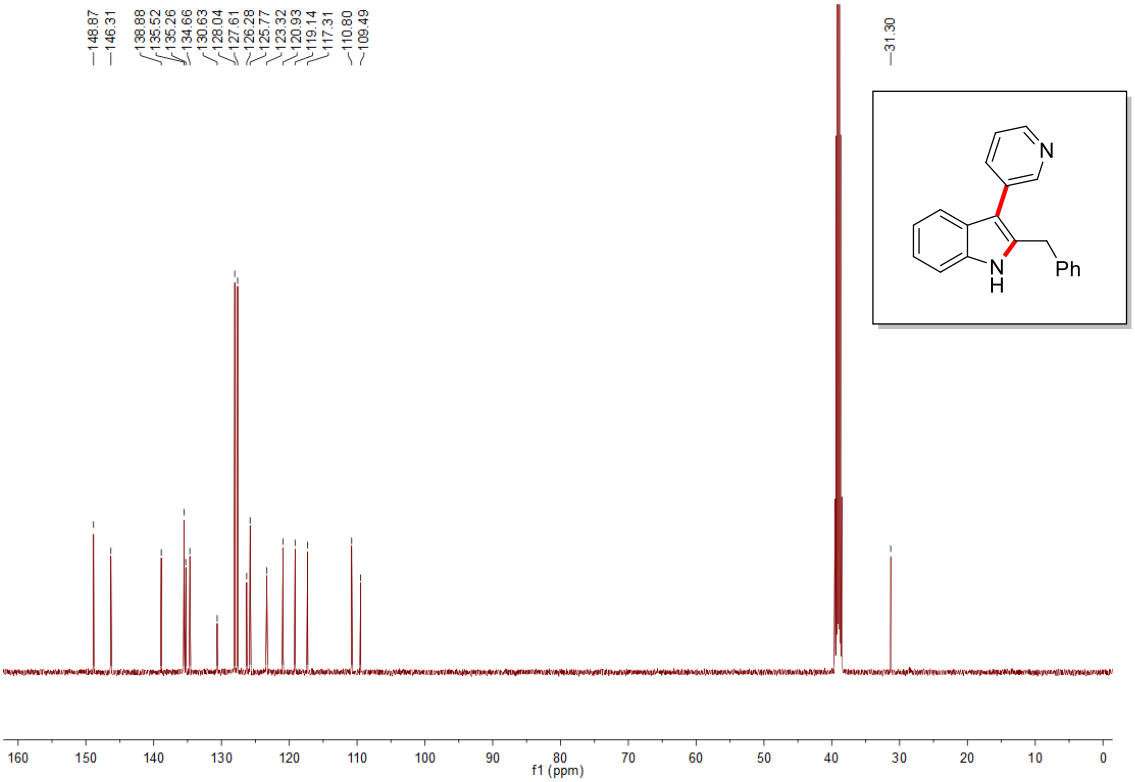
Supplementary Figure 144. ^{13}C NMR Spectrum of 3h (125 MHz, $CDCl_3$)



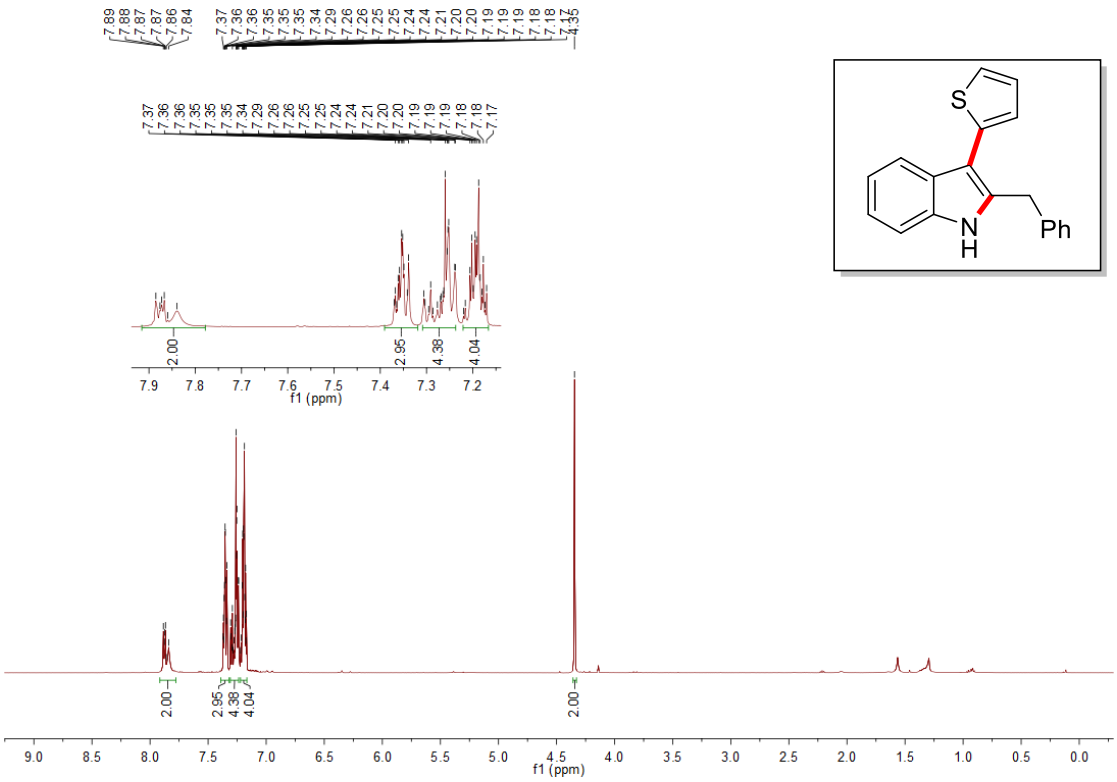
Supplementary Figure 145. ^{1}H NMR Spectrum of 3i (500 MHz, DMSO–d_6)



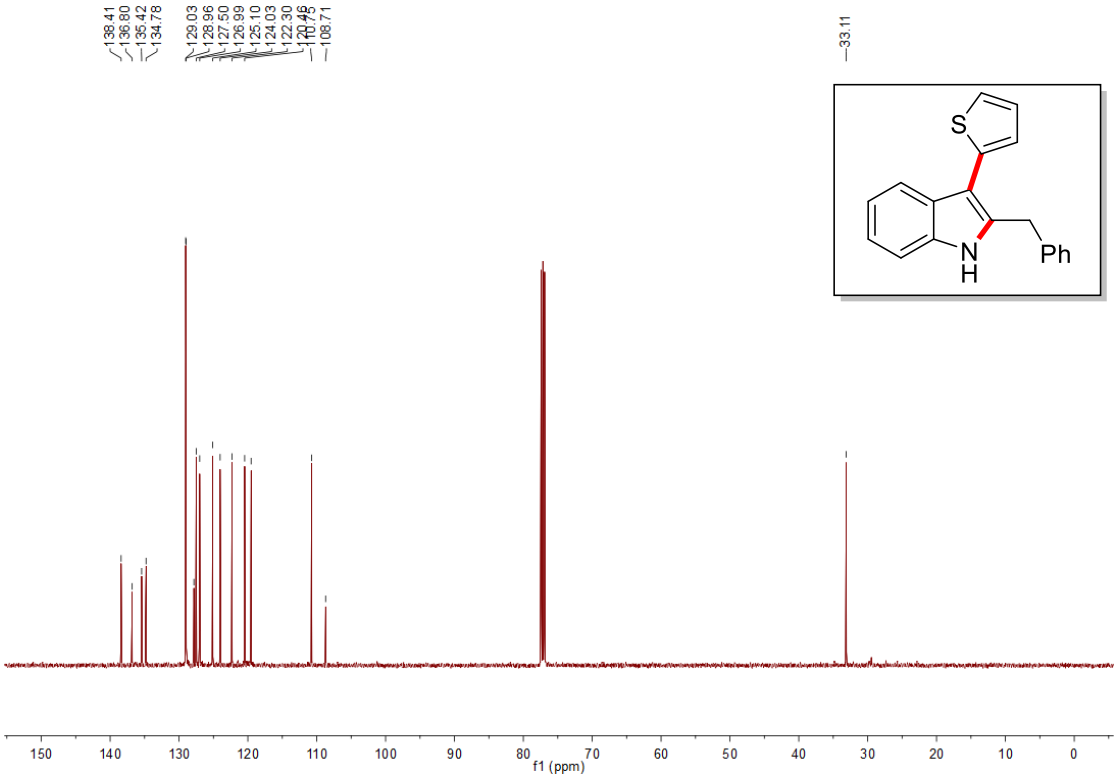
Supplementary Figure 146. ^{13}C NMR Spectrum of 3i (125 MHz, DMSO–d_6)



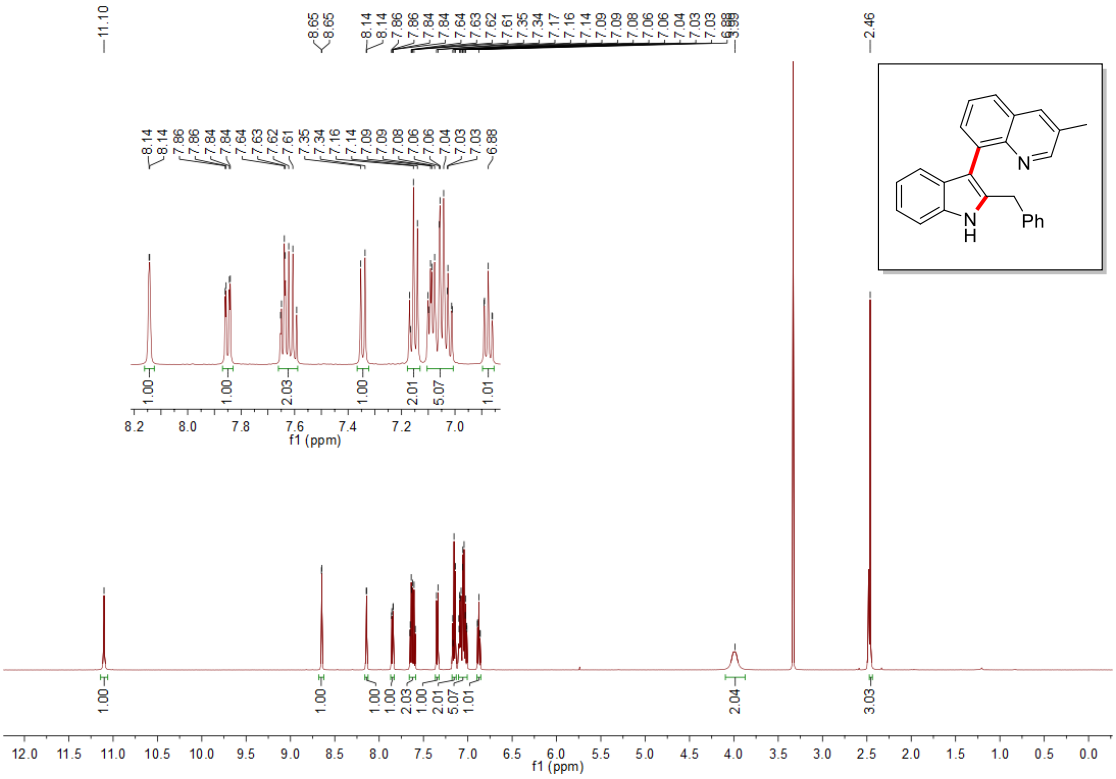
Supplementary Figure 147. ^{1}H NMR Spectrum of 3j (500 MHz, $CDCl_3$)



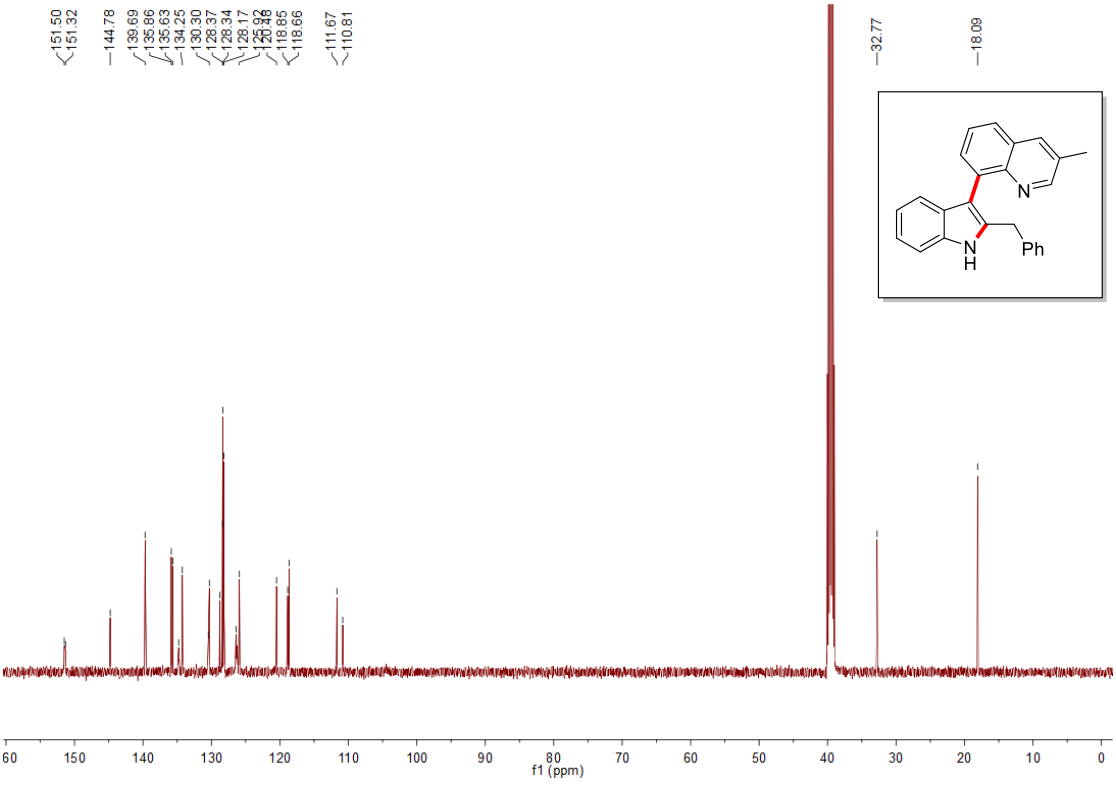
Supplementary Figure 148. ^{13}C NMR Spectrum of 3j (125 MHz, $CDCl_3$)



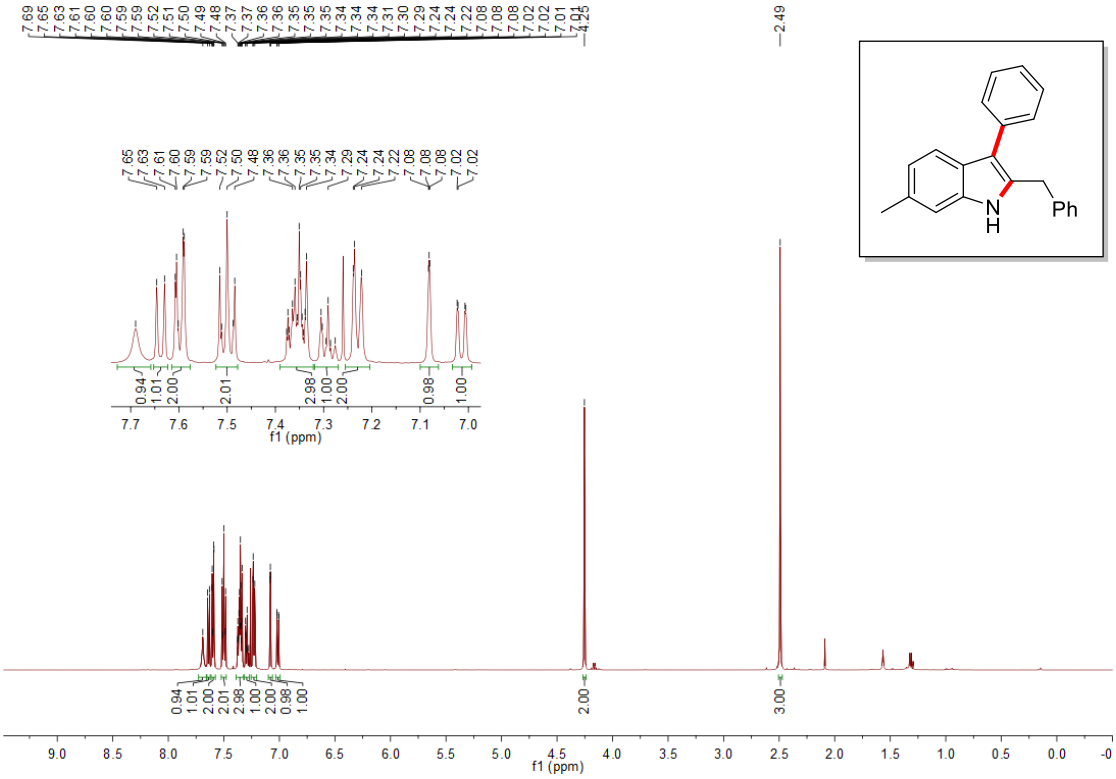
Supplementary Figure 149. ^{1}H NMR Spectrum of 3k (500 MHz, DMSO–d_6)



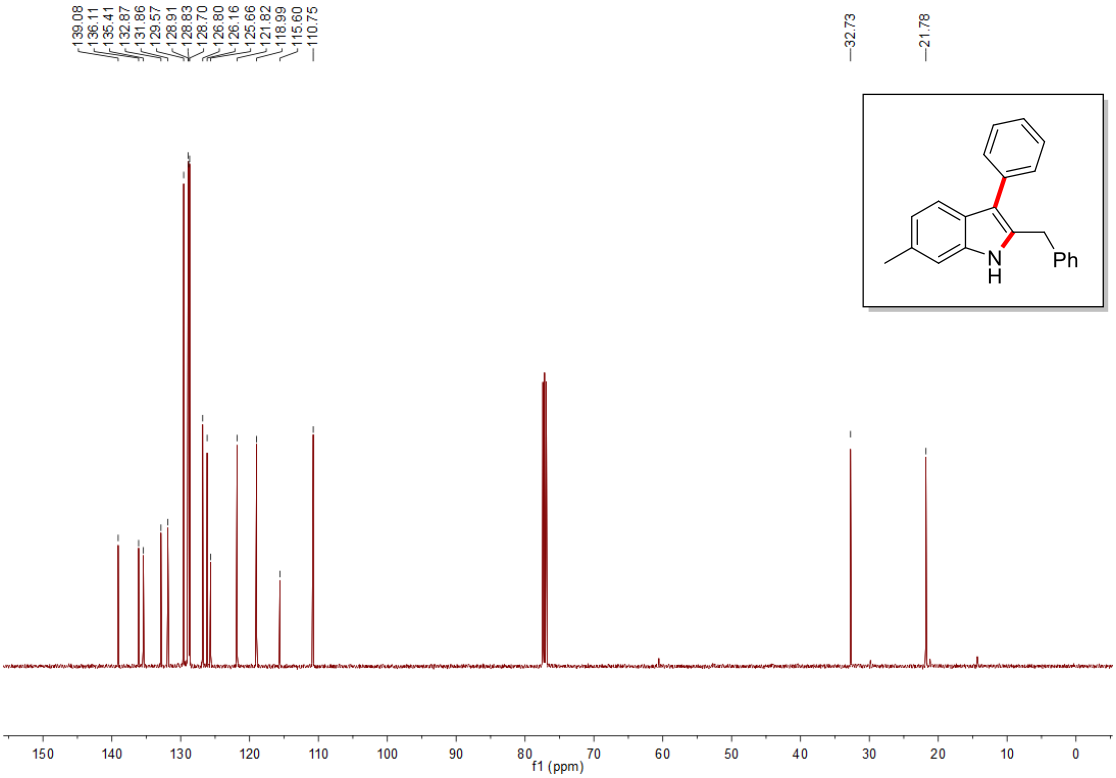
Supplementary Figure 150. ^{13}C NMR Spectrum of 3k (125 MHz, DMSO–d_6)



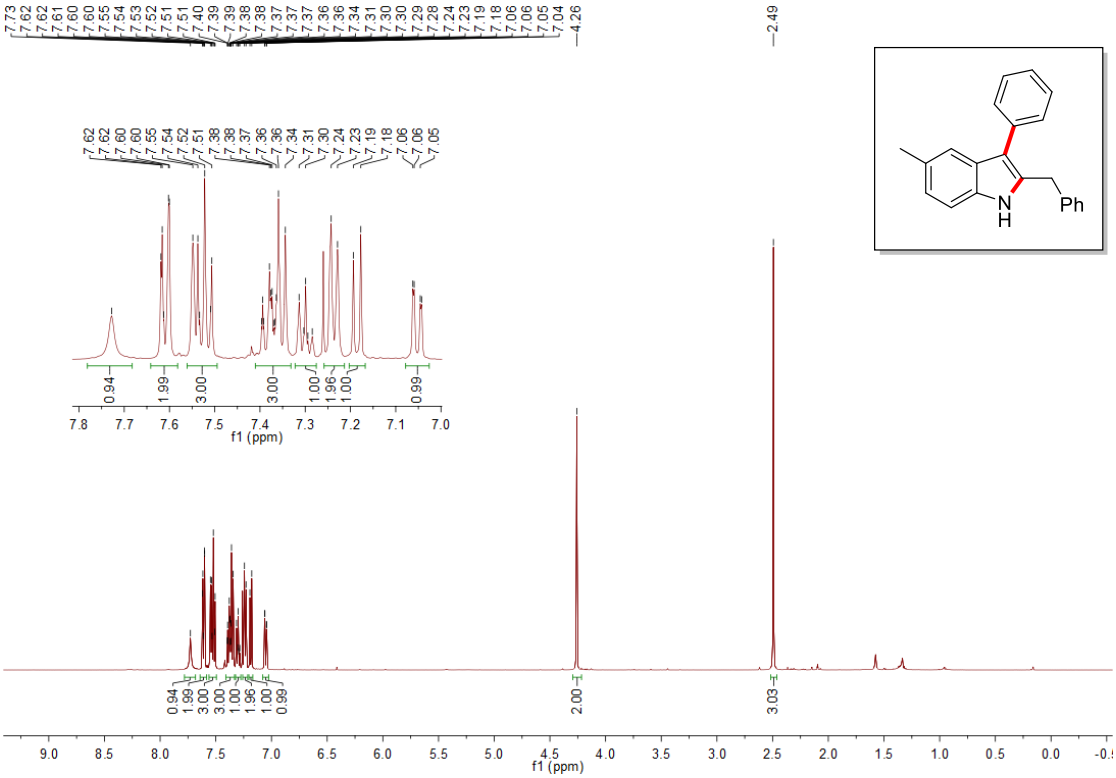
Supplementary Figure 151. ^{1}H NMR Spectrum of 3l (500 MHz, $CDCl_3$)



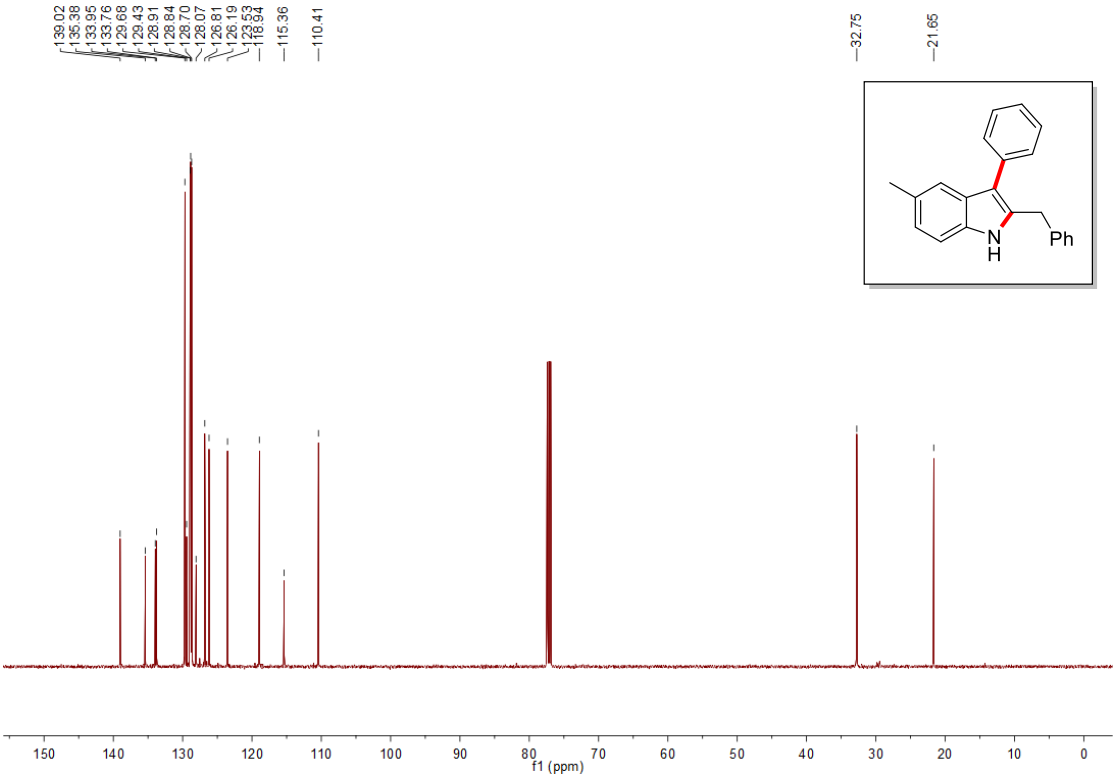
Supplementary Figure 152. ^{13}C NMR Spectrum of 3l (125 MHz, $CDCl_3$)



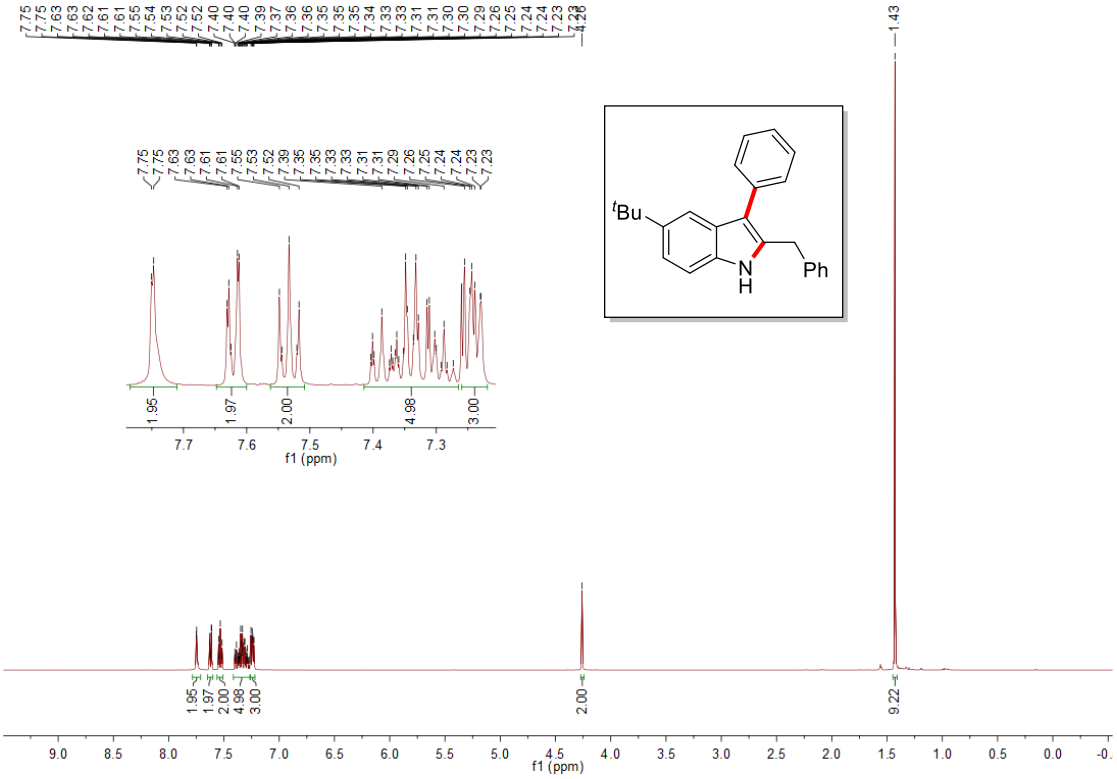
Supplementary Figure 153. ^{1}H NMR Spectrum of 3m (500 MHz, $CDCl_3$)



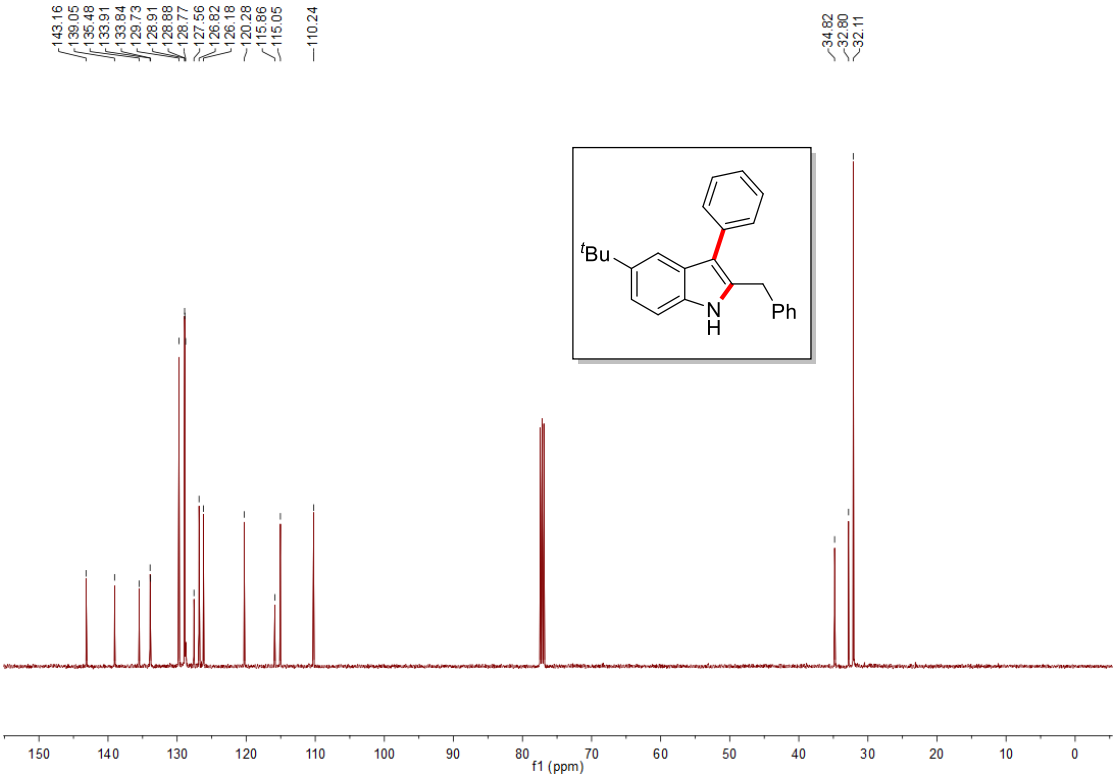
Supplementary Figure 154. ^{13}C NMR Spectrum of 3m (125 MHz, $CDCl_3$)



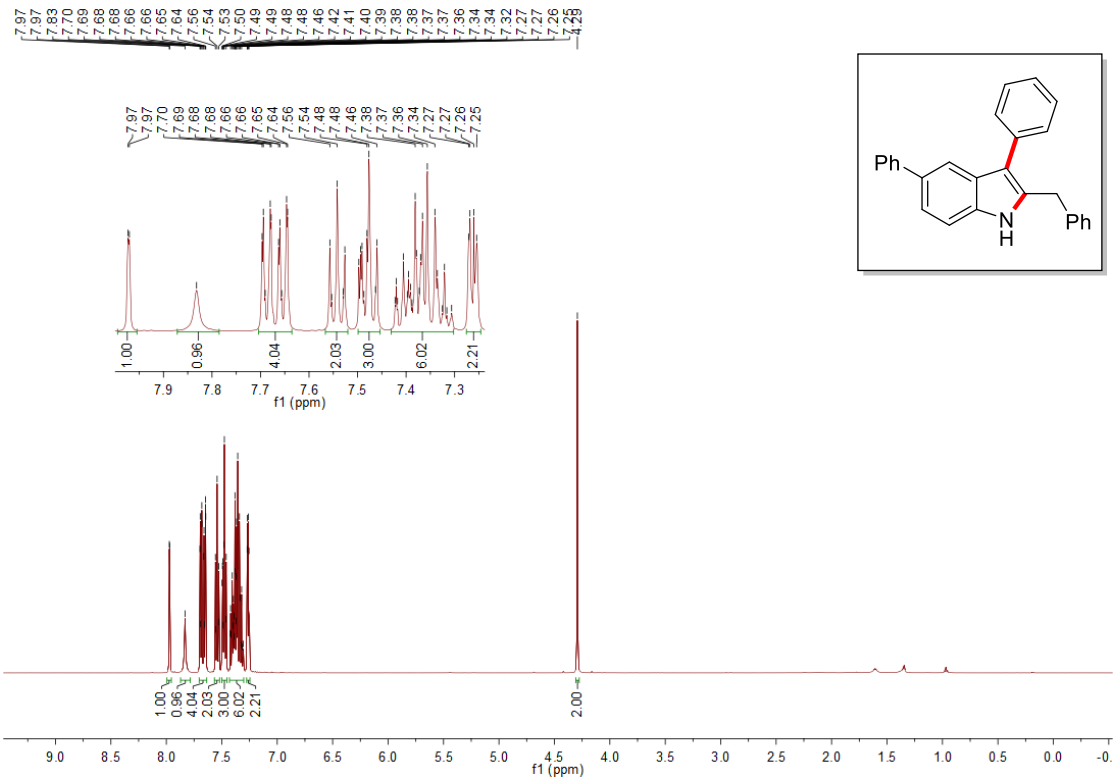
Supplementary Figure 155. ^{1}H NMR Spectrum of 3n (500 MHz, $CDCl_3$)



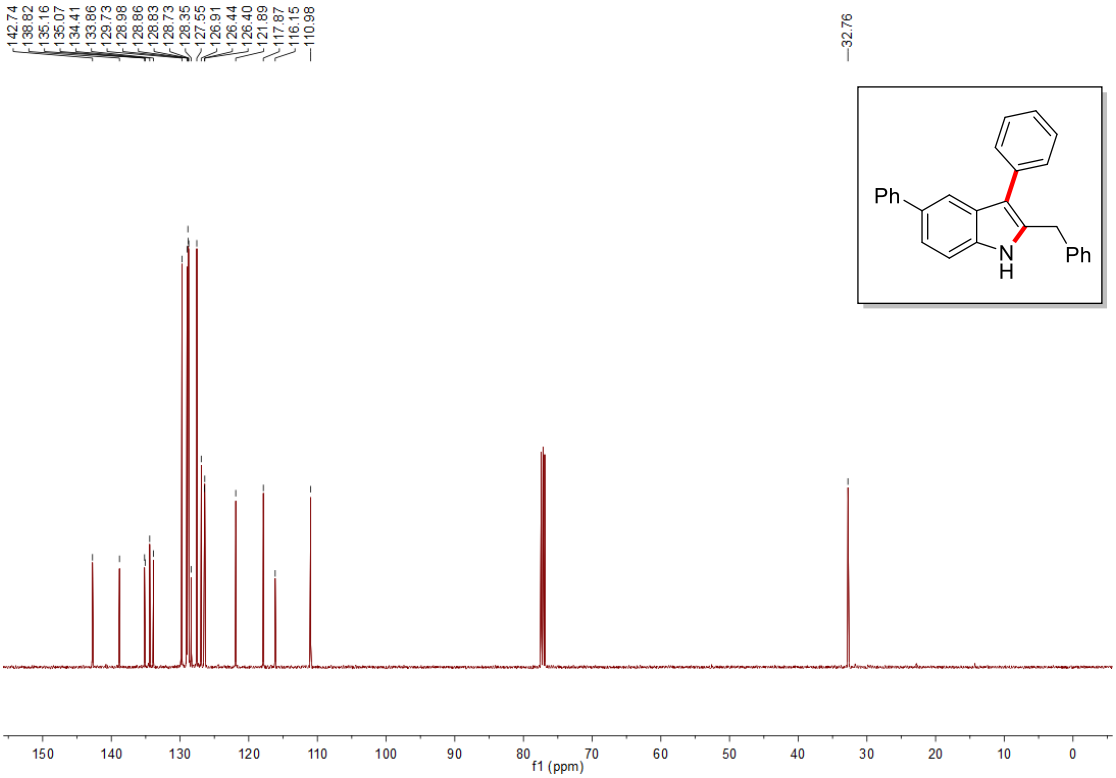
Supplementary Figure 156. ^{13}C NMR Spectrum of 3n (125 MHz, $CDCl_3$)



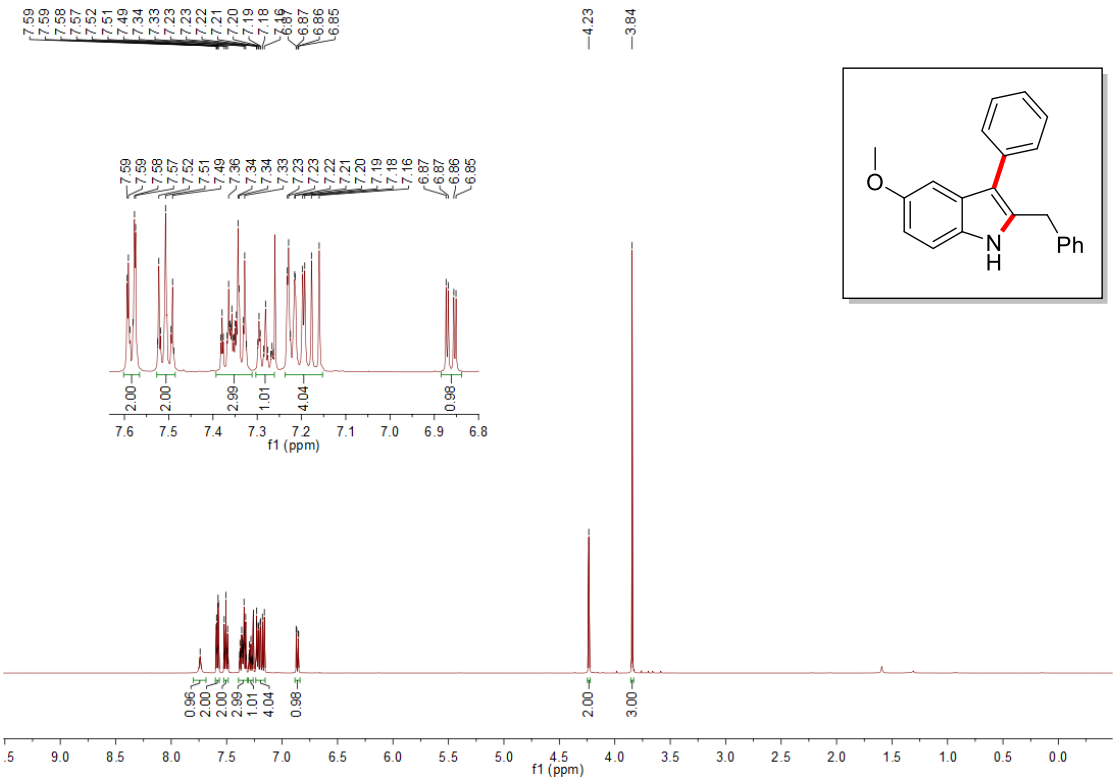
Supplementary Figure 157. ^{1}H NMR Spectrum of 3o (500 MHz, $CDCl_3$)



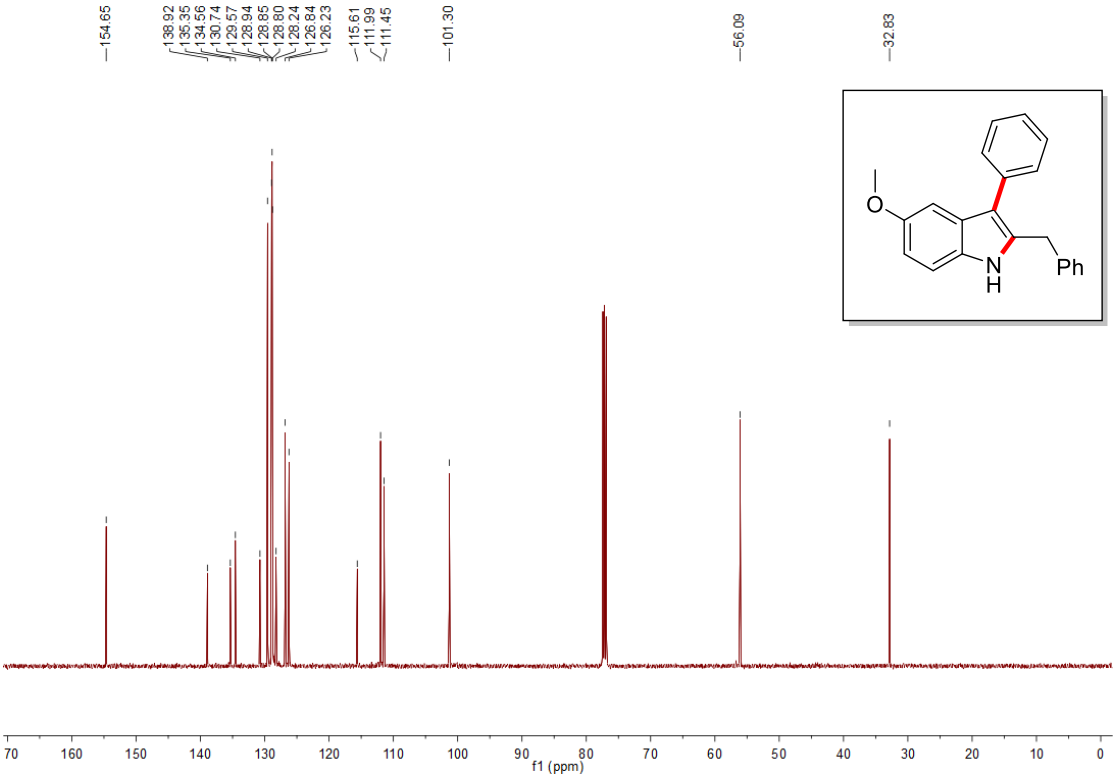
Supplementary Figure 158. ^{13}C NMR Spectrum of 3o (125 MHz, $CDCl_3$)



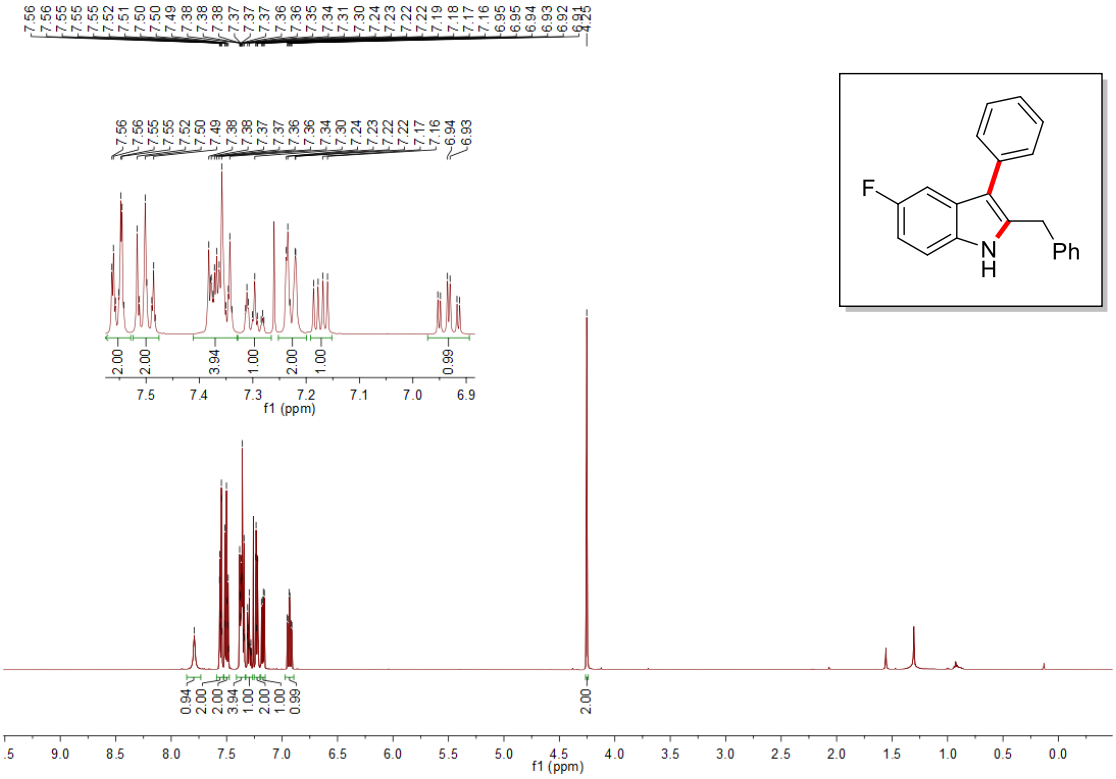
Supplementary Figure 159. ^{1}H NMR Spectrum of 3p (500 MHz, $CDCl_3$)



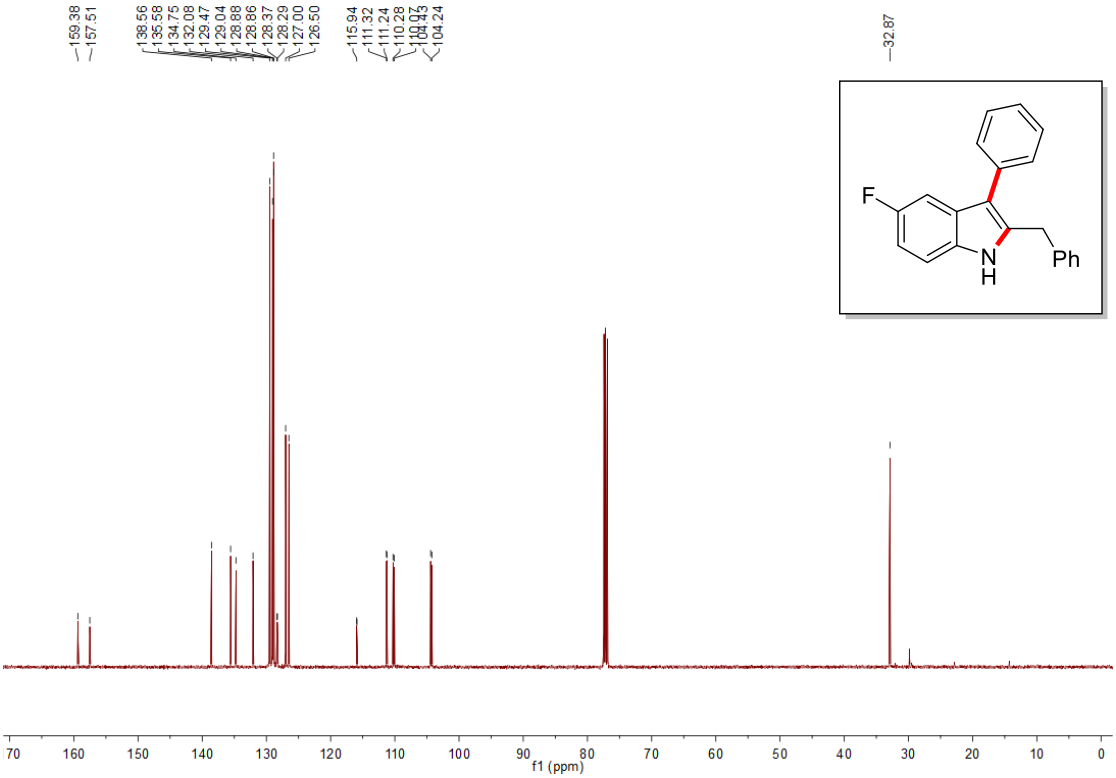
Supplementary Figure 160. ^{13}C NMR Spectrum of 3p (125 MHz, $CDCl_3$)



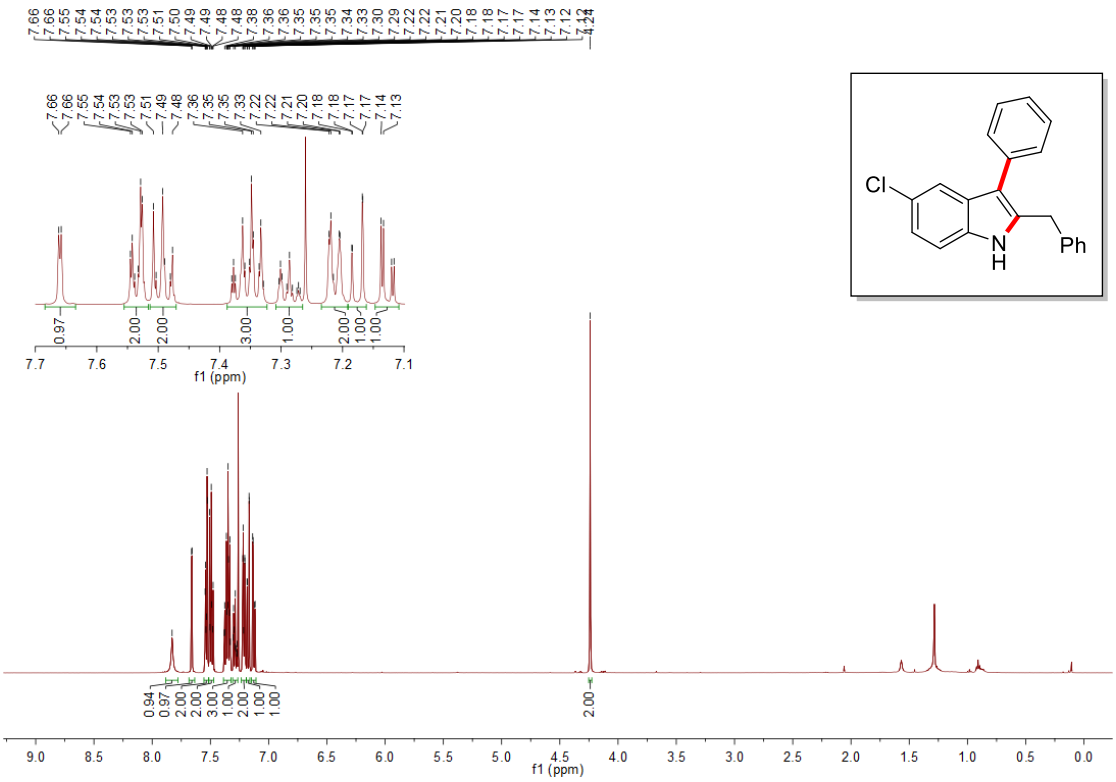
Supplementary Figure 161. ^{1}H NMR Spectrum of 3q (500 MHz, $CDCl_3$)



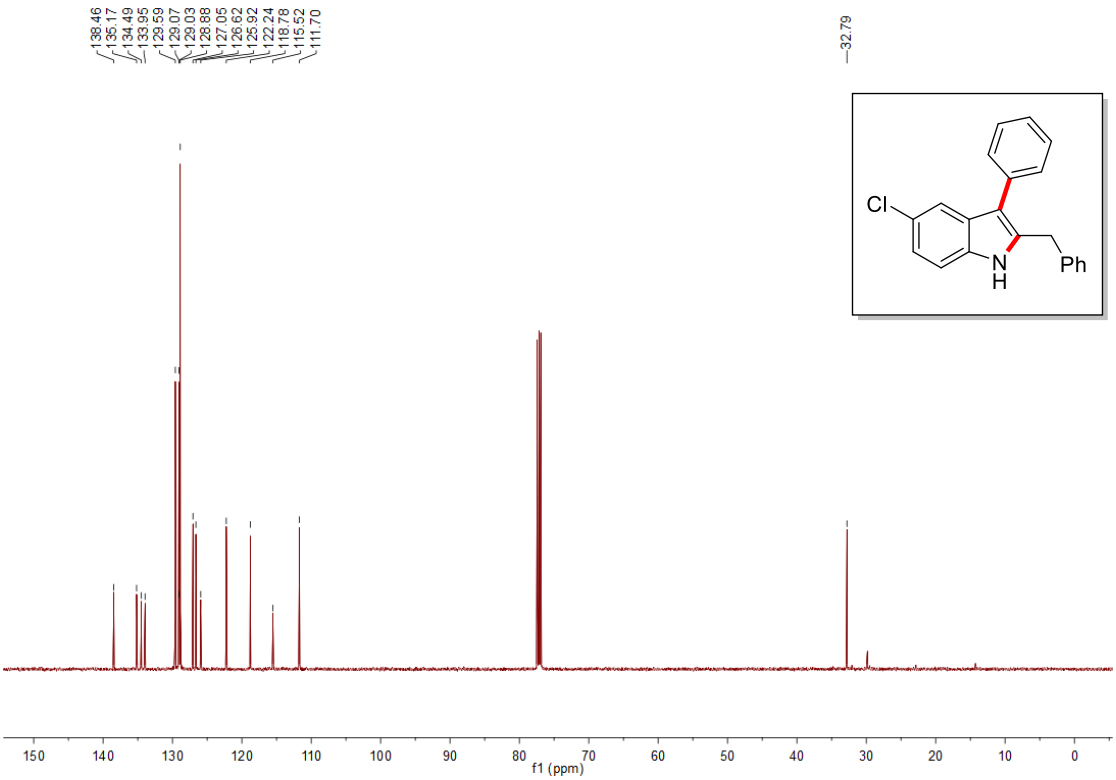
Supplementary Figure 162. ^{13}C NMR Spectrum of 3q (125 MHz, $CDCl_3$)



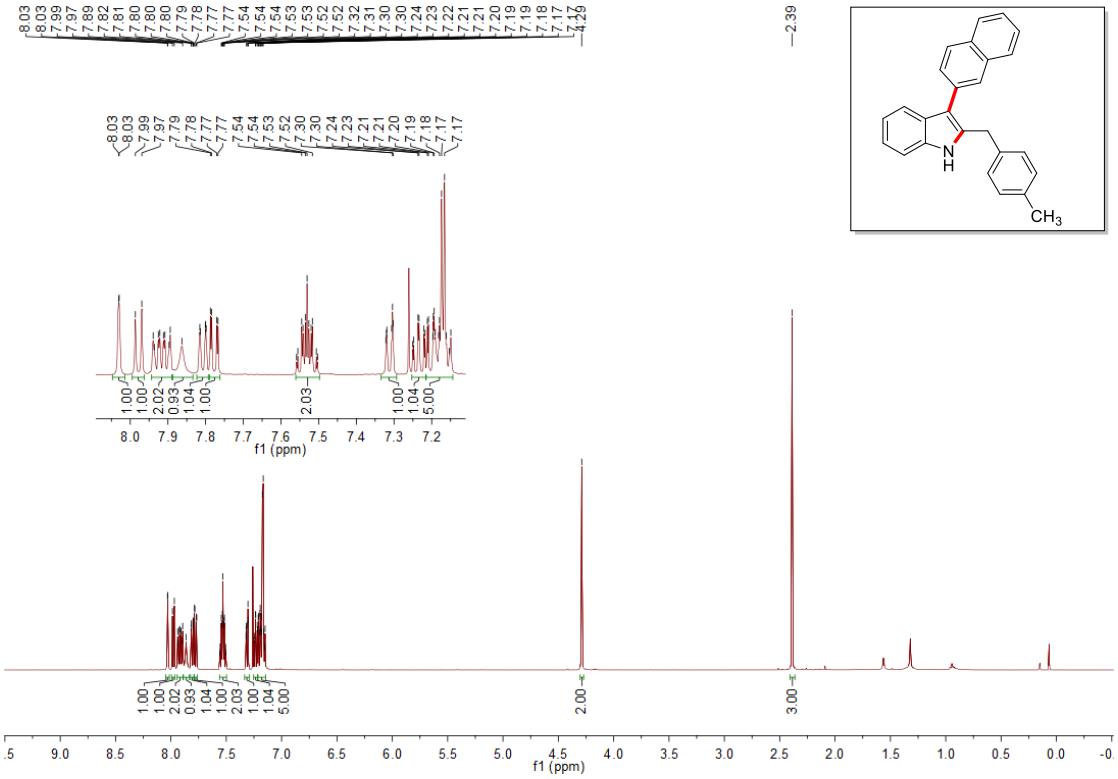
Supplementary Figure 163. ^{1}H NMR Spectrum of 3r (500 MHz, $CDCl_3$)



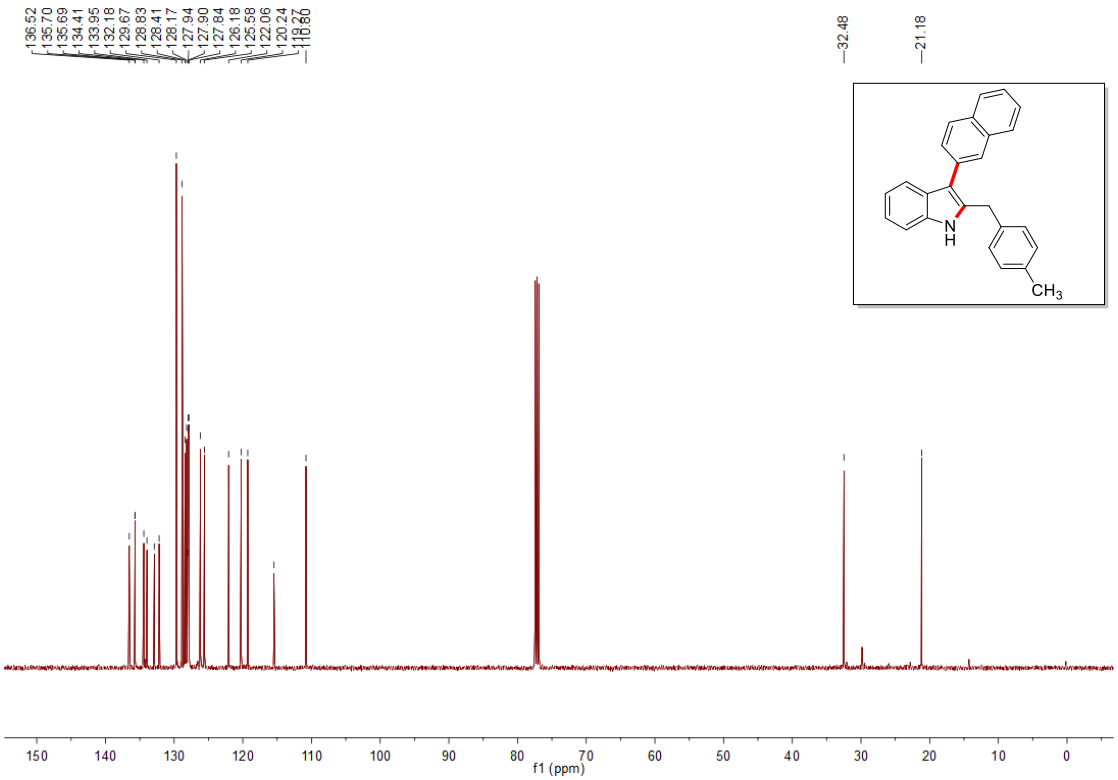
Supplementary Figure 164. ^{13}C NMR Spectrum of 3r (125 MHz, $CDCl_3$)



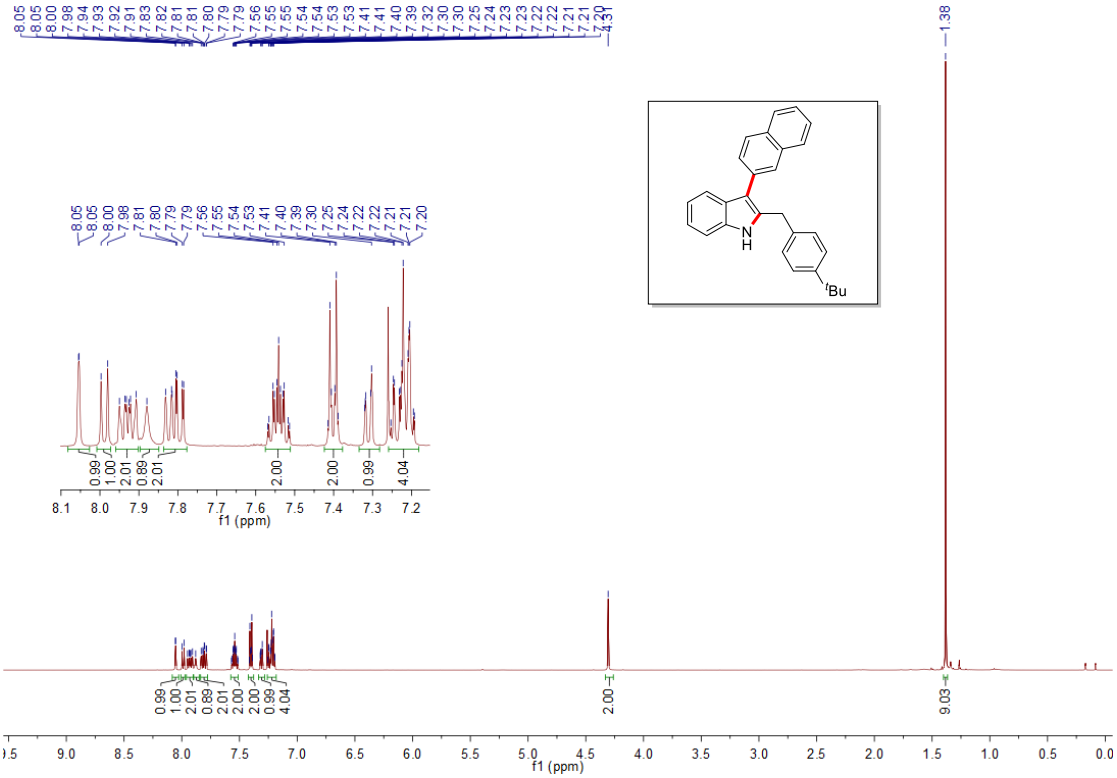
Supplementary Figure 165. ^{1}H NMR Spectrum of 3t (500 MHz, $CDCl_3$)



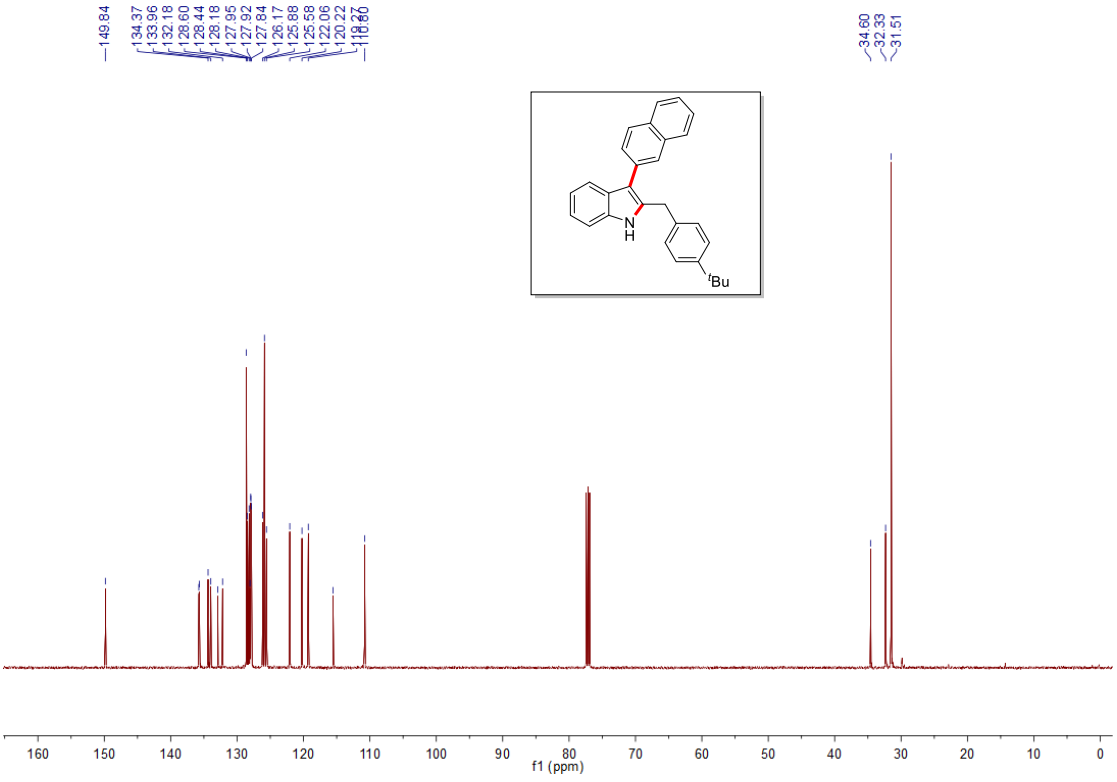
Supplementary Figure 166. ^{13}C NMR Spectrum of 3t (125 MHz, $CDCl_3$)



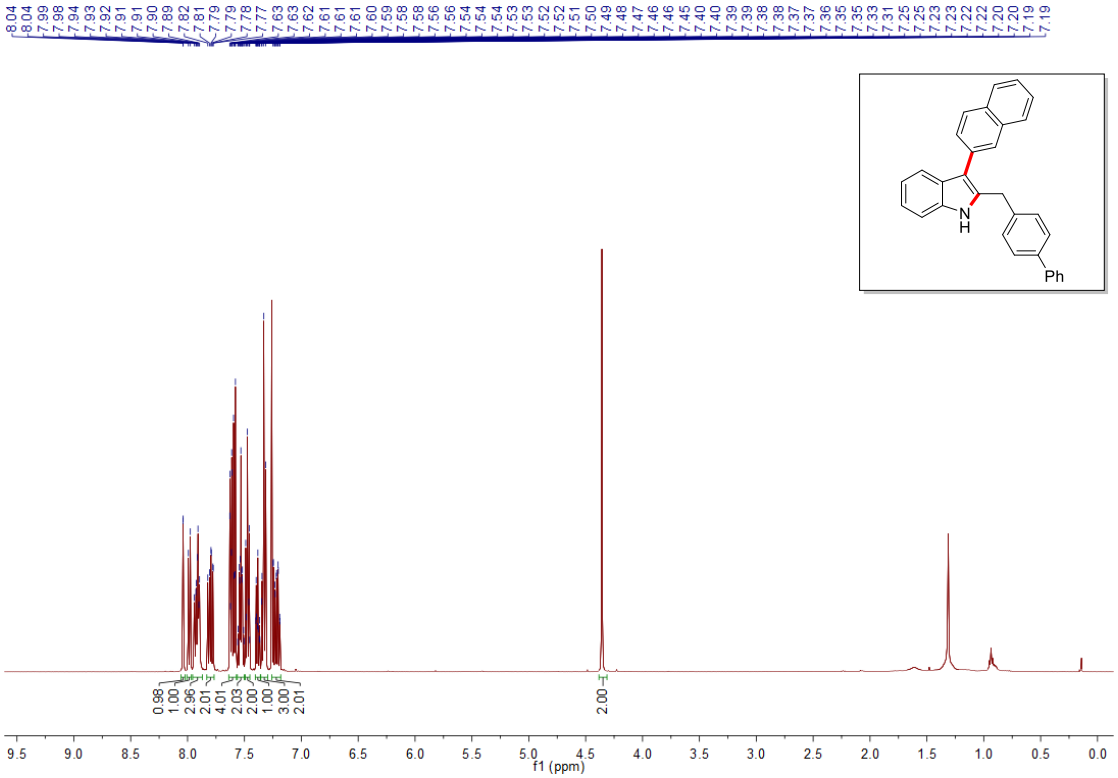
Supplementary Figure 167. ^{1}H NMR Spectrum of 3u (500 MHz, $CDCl_3$)



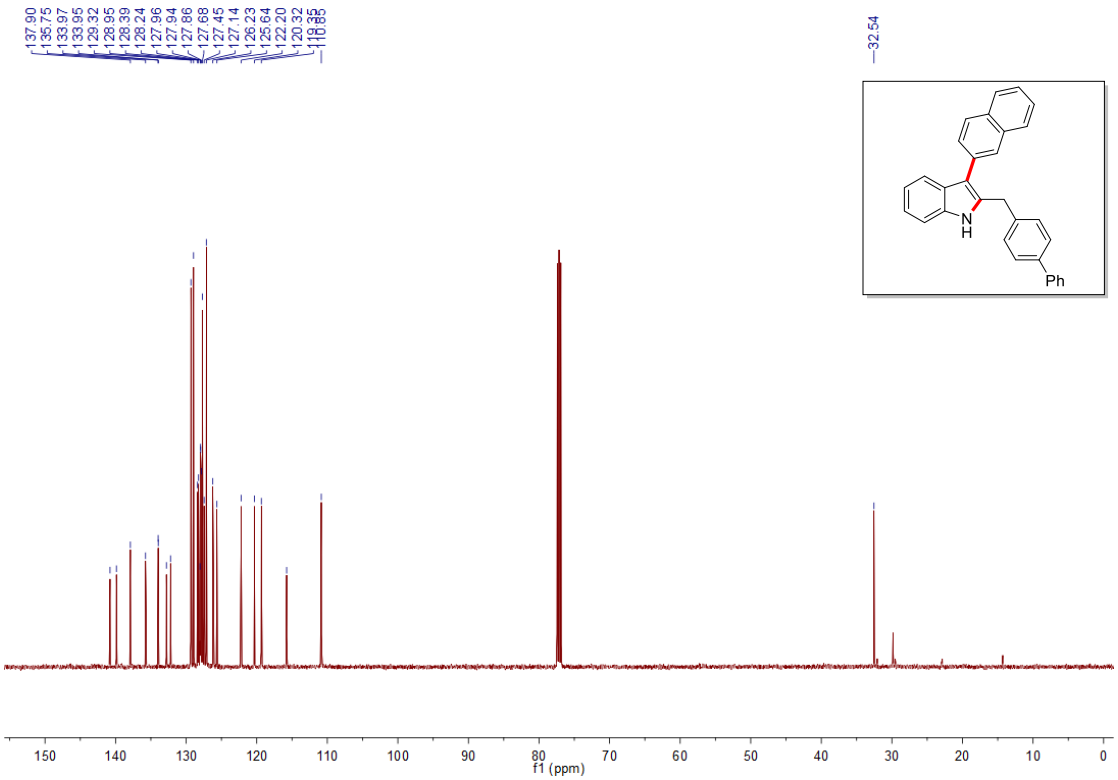
Supplementary Figure 168. ^{13}C NMR Spectrum of 3u (125 MHz, $CDCl_3$)



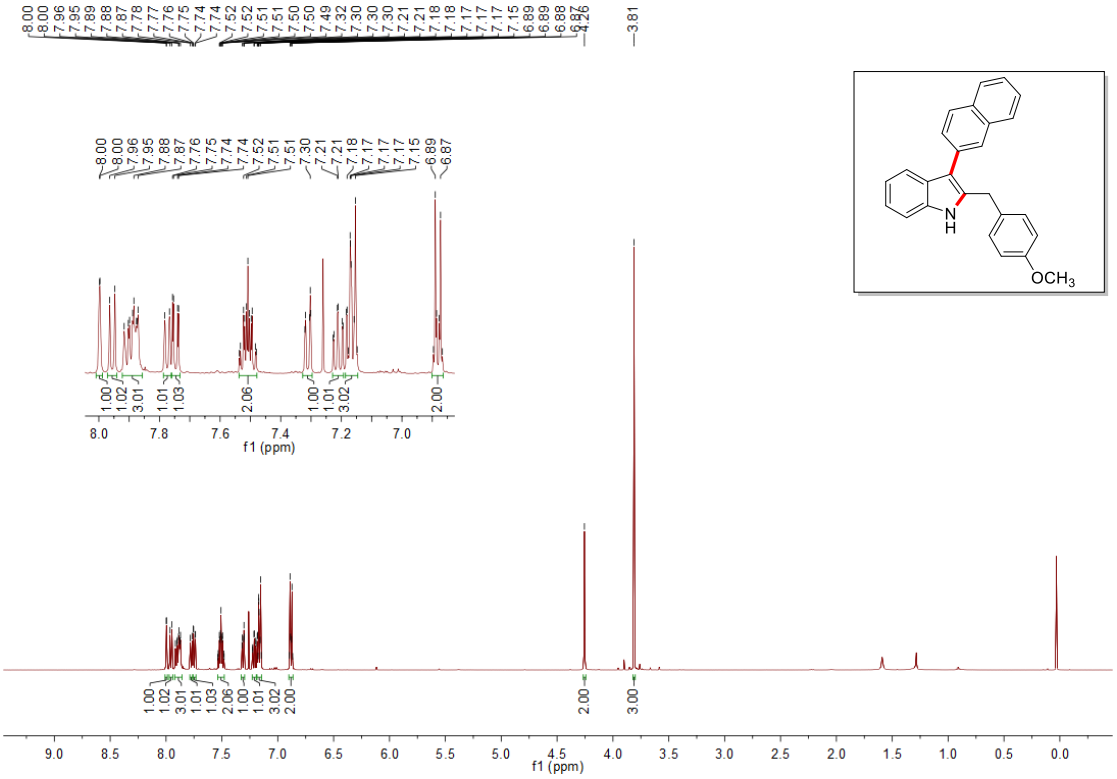
Supplementary Figure 169. ^{1}H NMR Spectrum of 3v (500 MHz, $CDCl_3$)



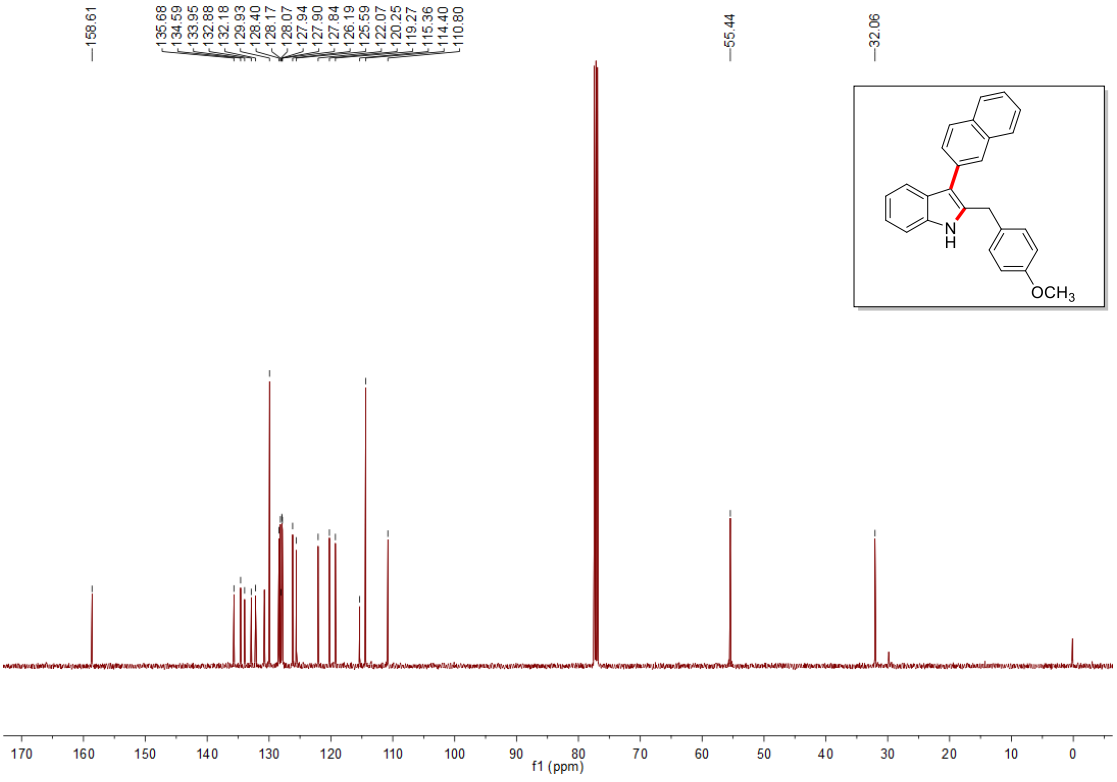
Supplementary Figure 170. ^{13}C NMR Spectrum of 3v (125 MHz, $CDCl_3$)



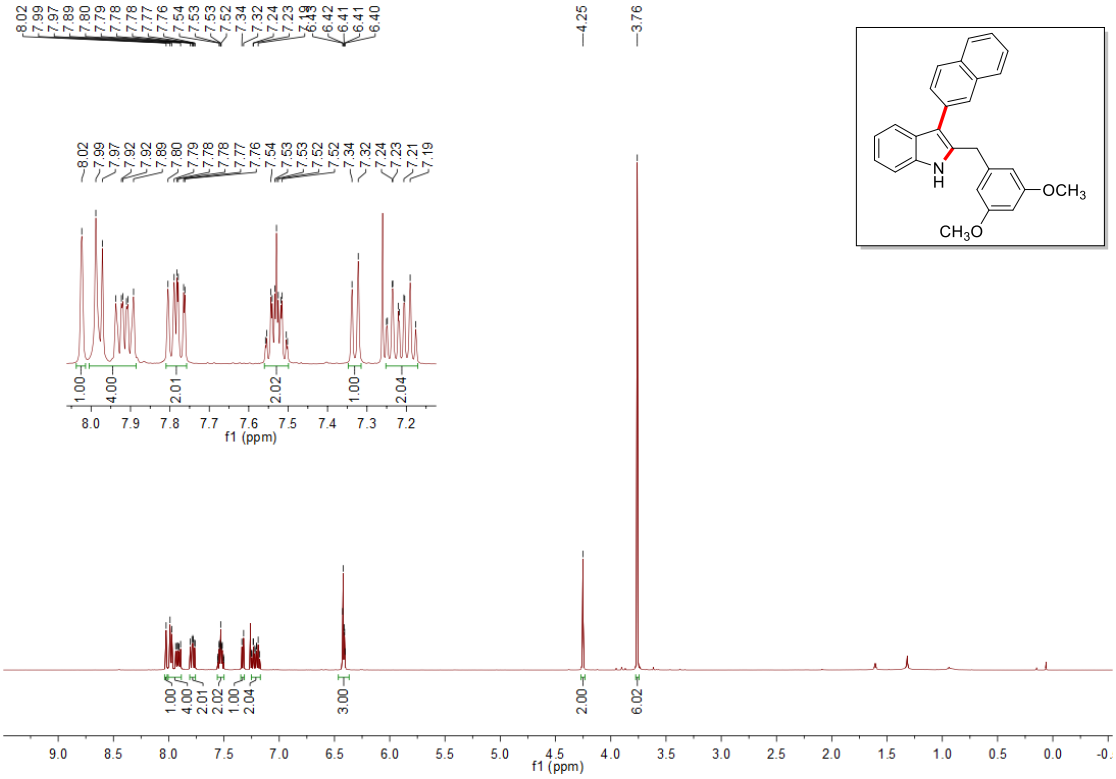
Supplementary Figure 171. ^{1}H NMR Spectrum of 3w (500 MHz, $CDCl_3$)



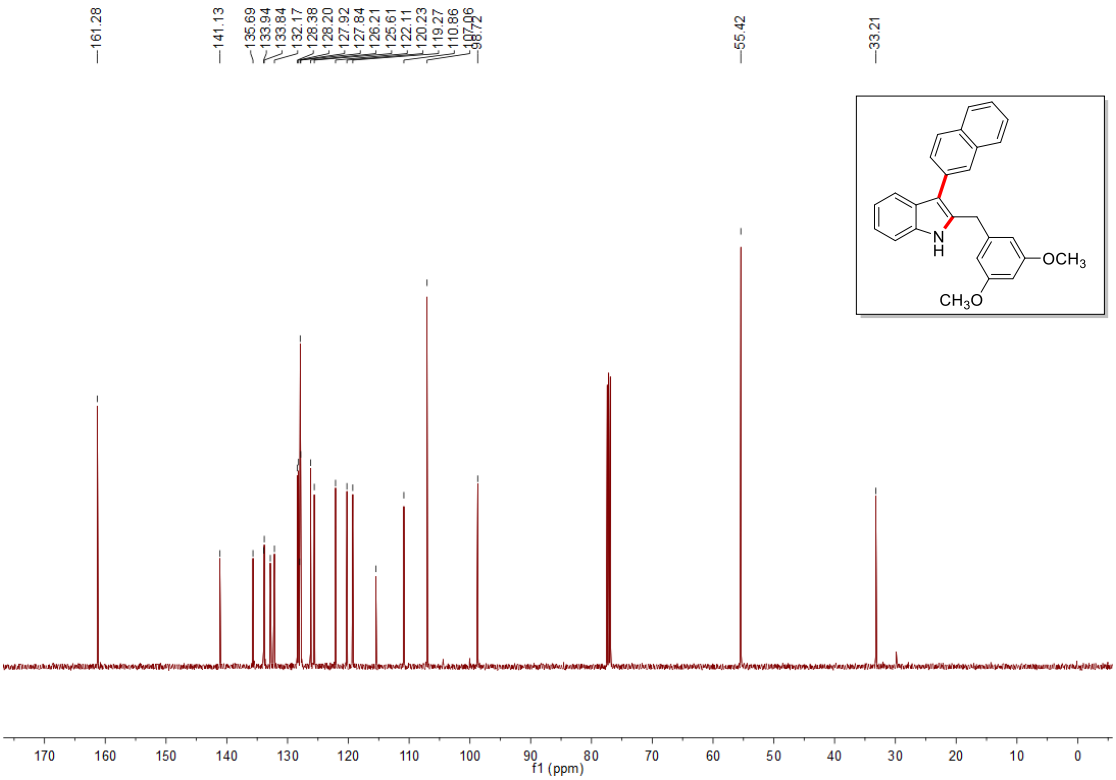
Supplementary Figure 172. ^{13}C NMR Spectrum of 3w (125 MHz, $CDCl_3$)



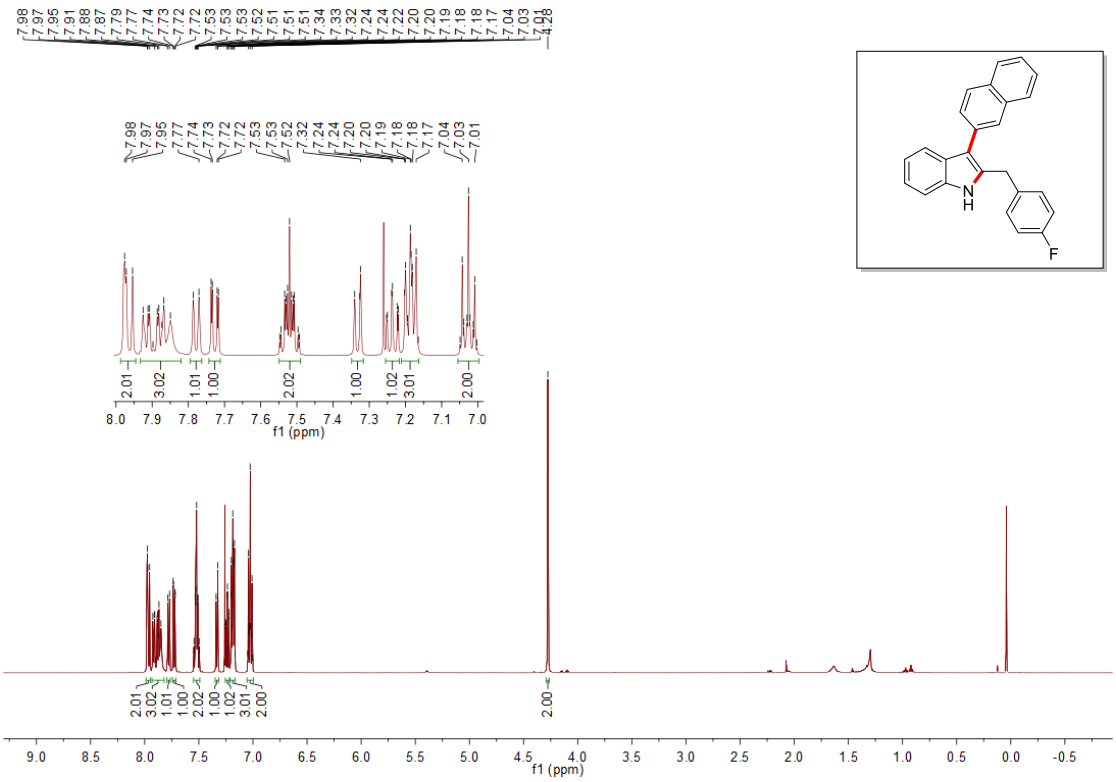
Supplementary Figure 173. ^{1}H NMR Spectrum of 3x (500 MHz, $CDCl_3$)



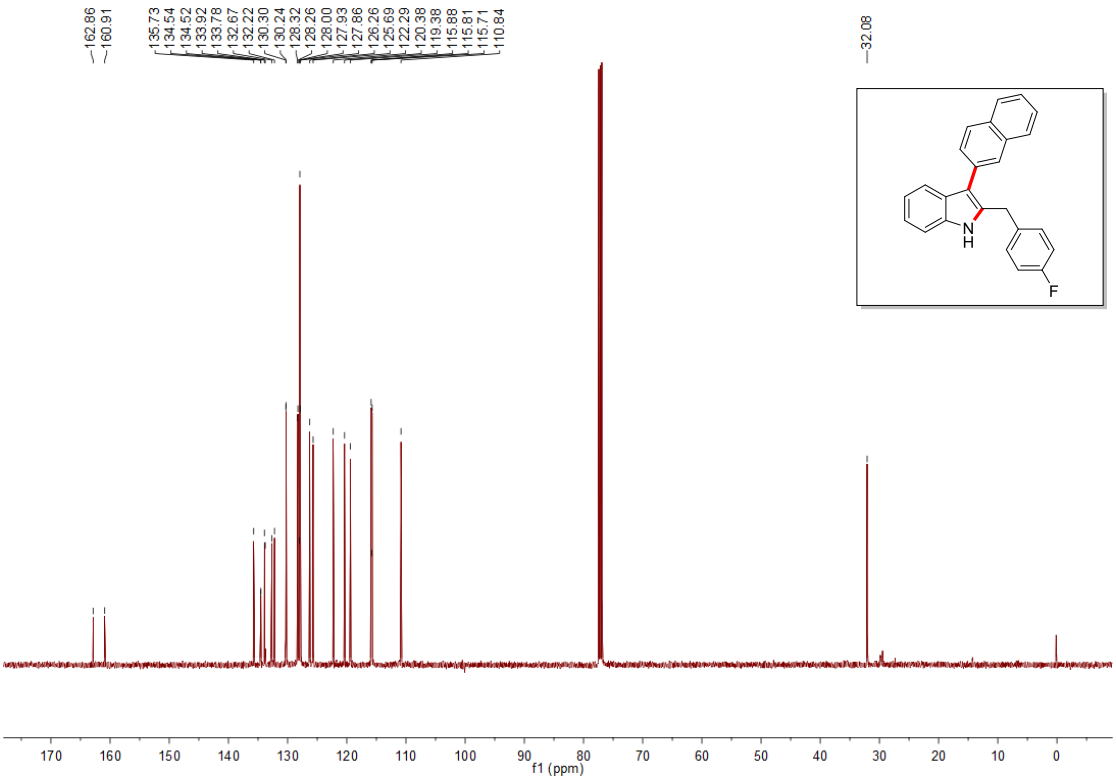
Supplementary Figure 174. ^{13}C NMR Spectrum of 3x (125 MHz, $CDCl_3$)



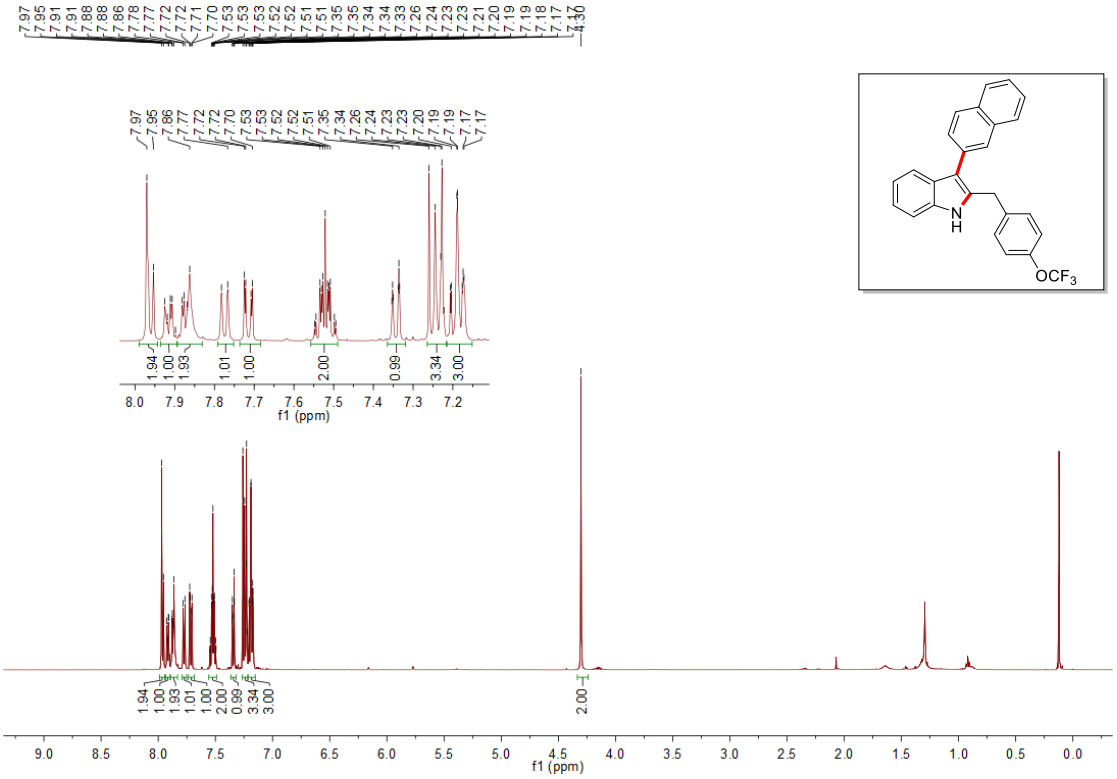
Supplementary Figure 175. ^{1}H NMR Spectrum of 3y (500 MHz, $CDCl_3$)



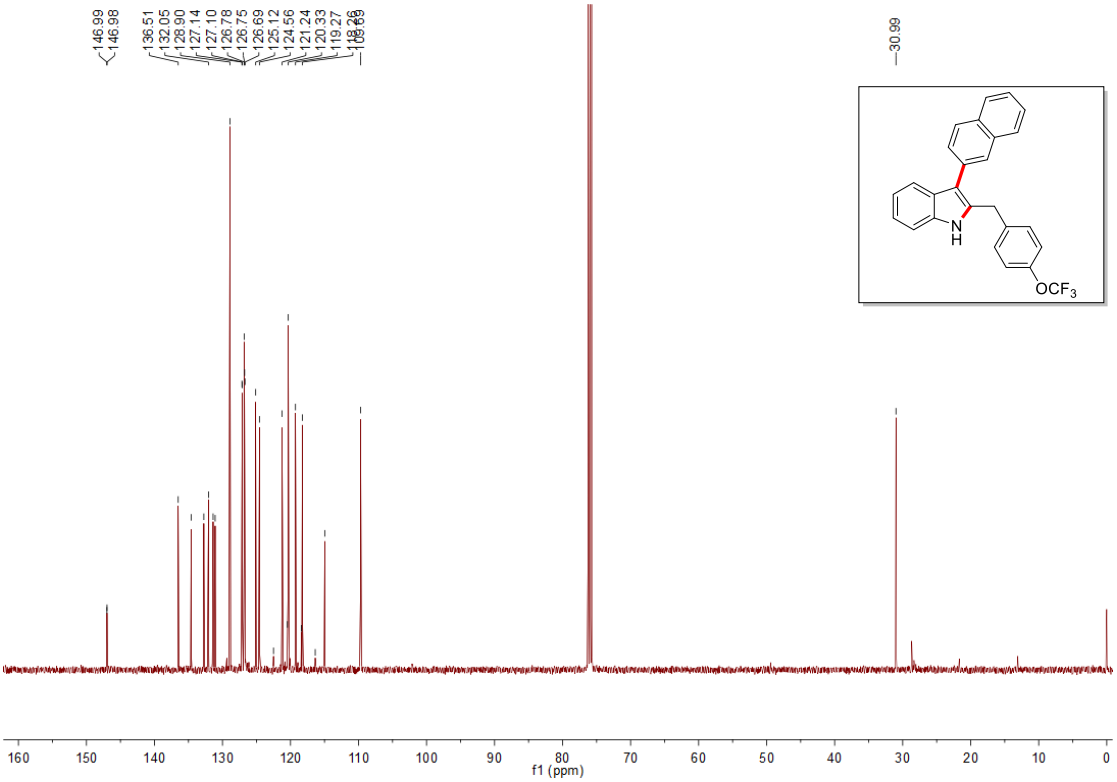
Supplementary Figure 176. ^{13}C NMR Spectrum of 3y (125 MHz, $CDCl_3$)



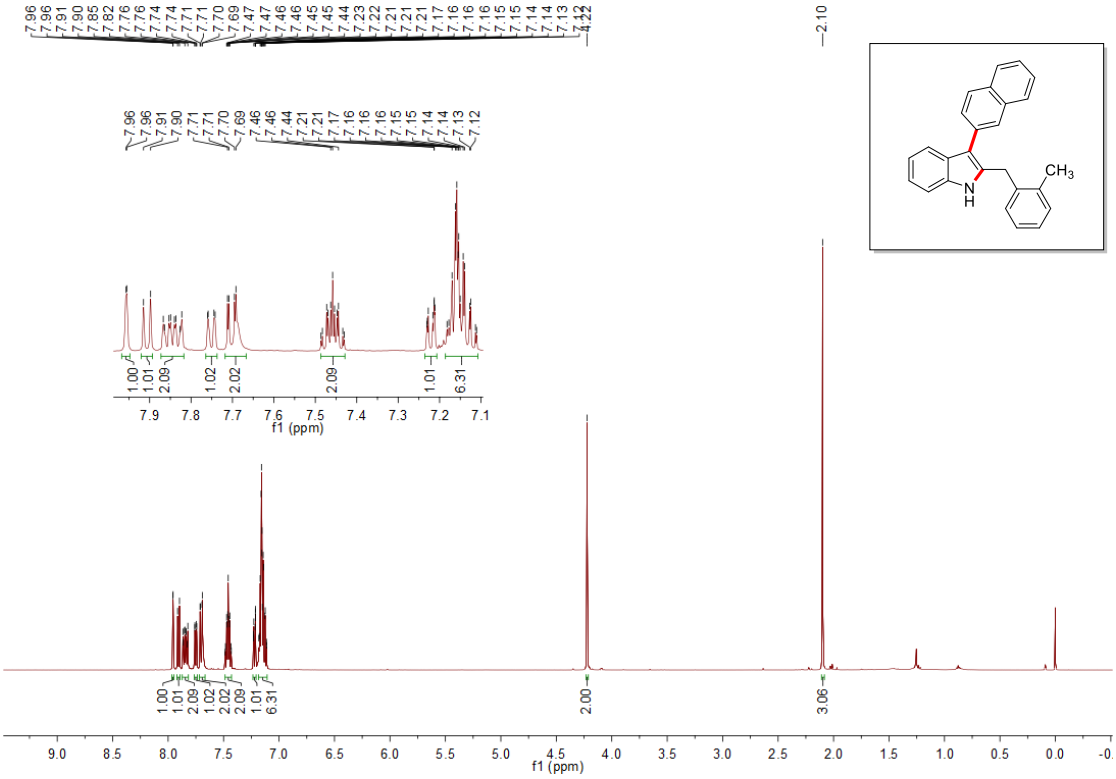
Supplementary Figure 177. ^{1}H NMR Spectrum of 3ab (500 MHz, $CDCl_3$)



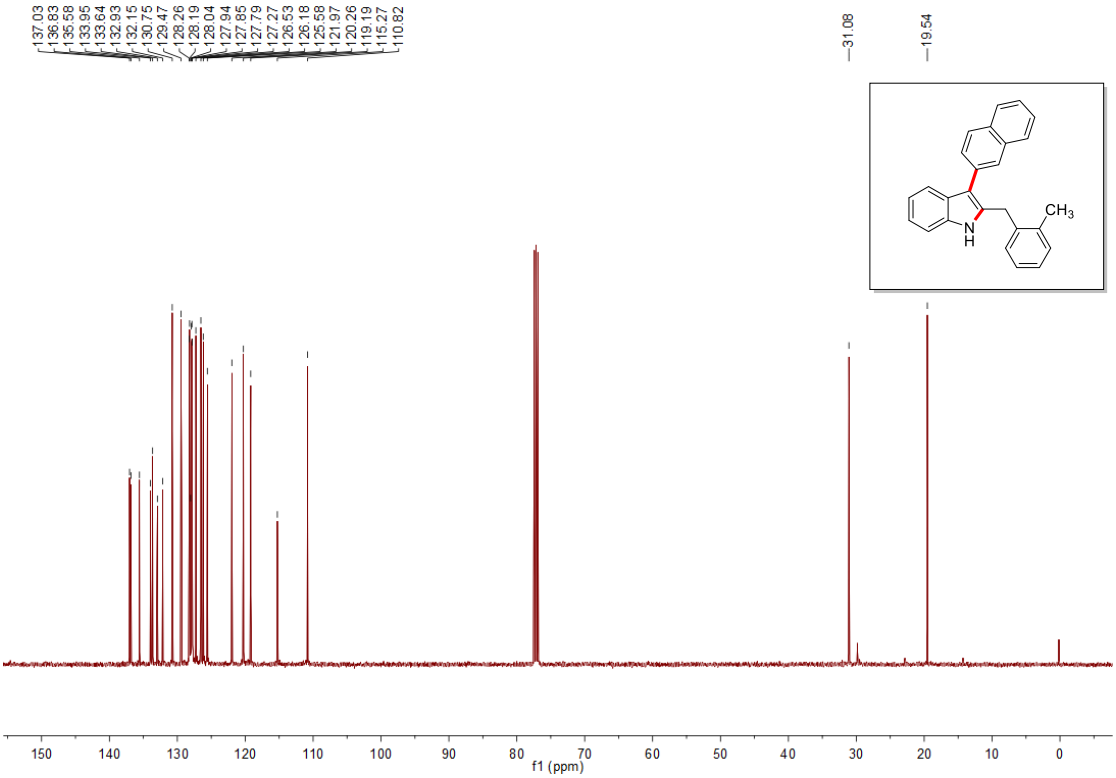
Supplementary Figure 178. ^{13}C NMR Spectrum of 3ab (125 MHz, $CDCl_3$)



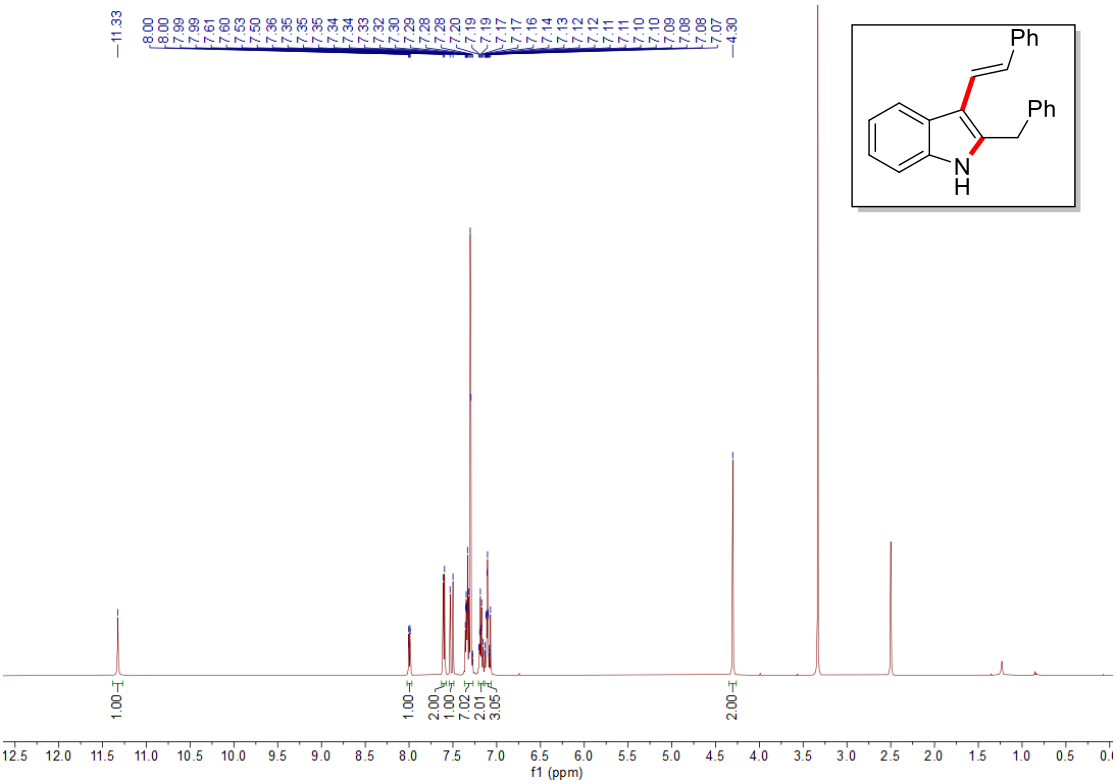
Supplementary Figure 179. ^{1}H NMR Spectrum of 3ad (500 MHz, $CDCl_3$)



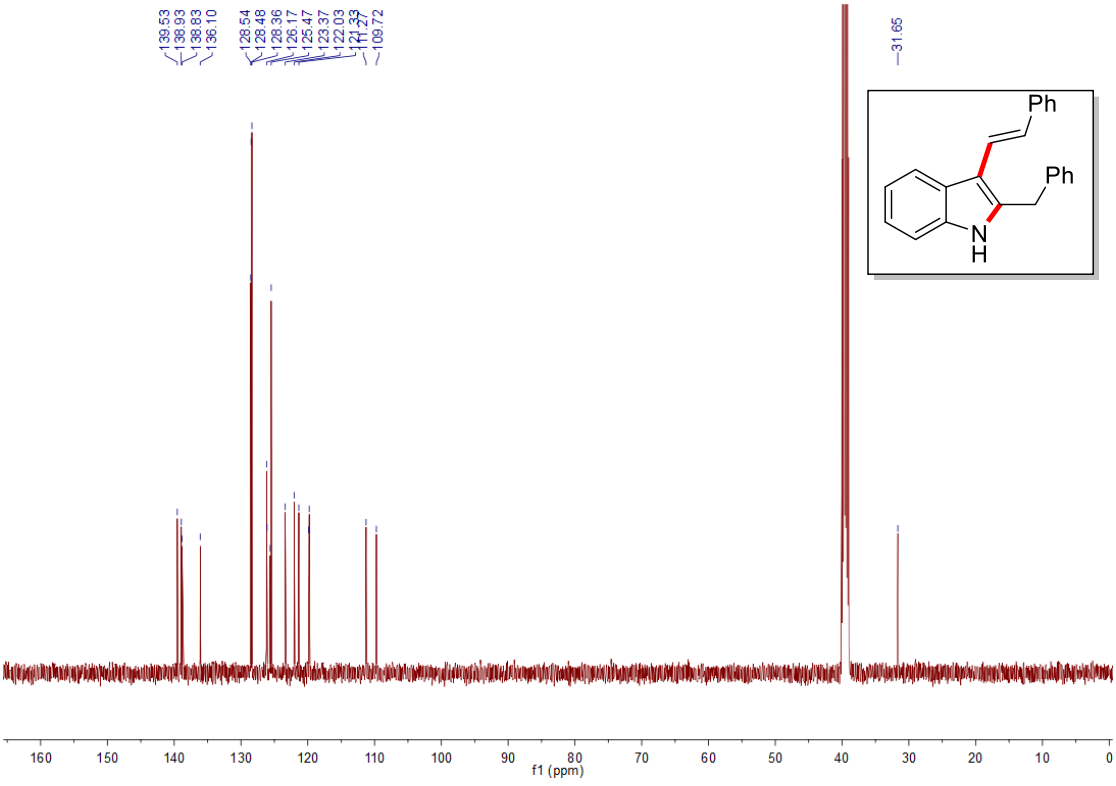
Supplementary Figure 180. ^{13}C NMR Spectrum of 3ad (125 MHz, $CDCl_3$)



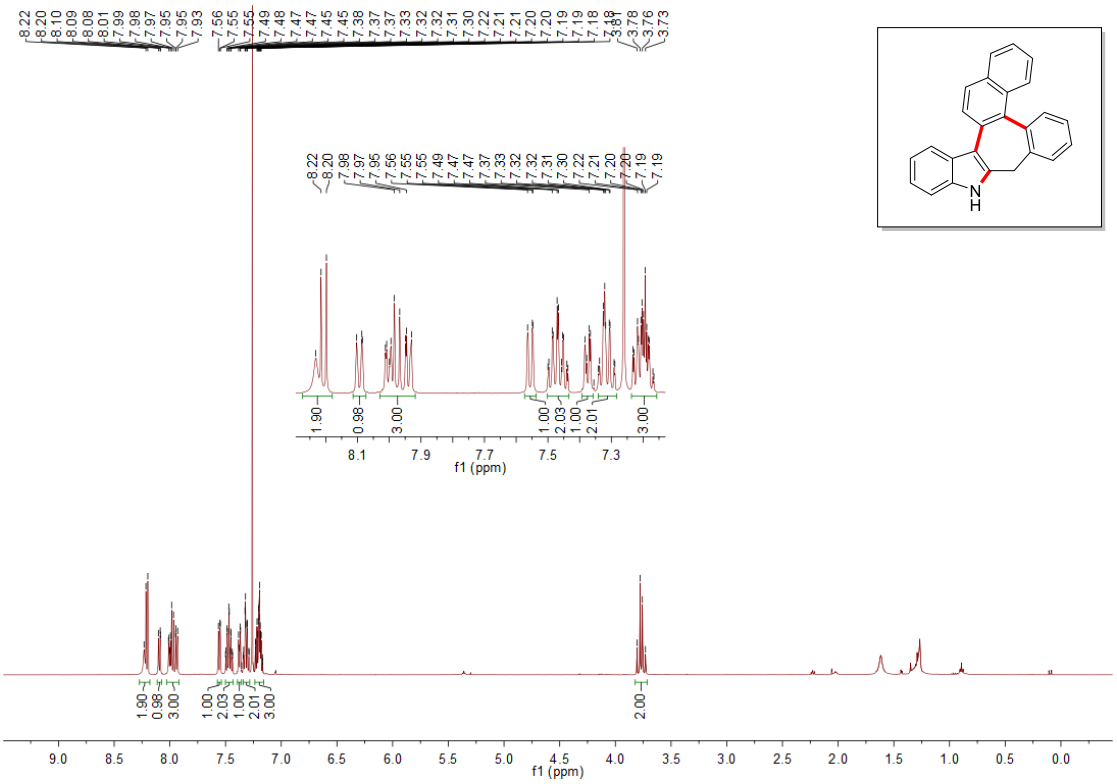
Supplementary Figure 181. ^{1}H NMR Spectrum of 3aE (500 MHz, DMSO–d_6)



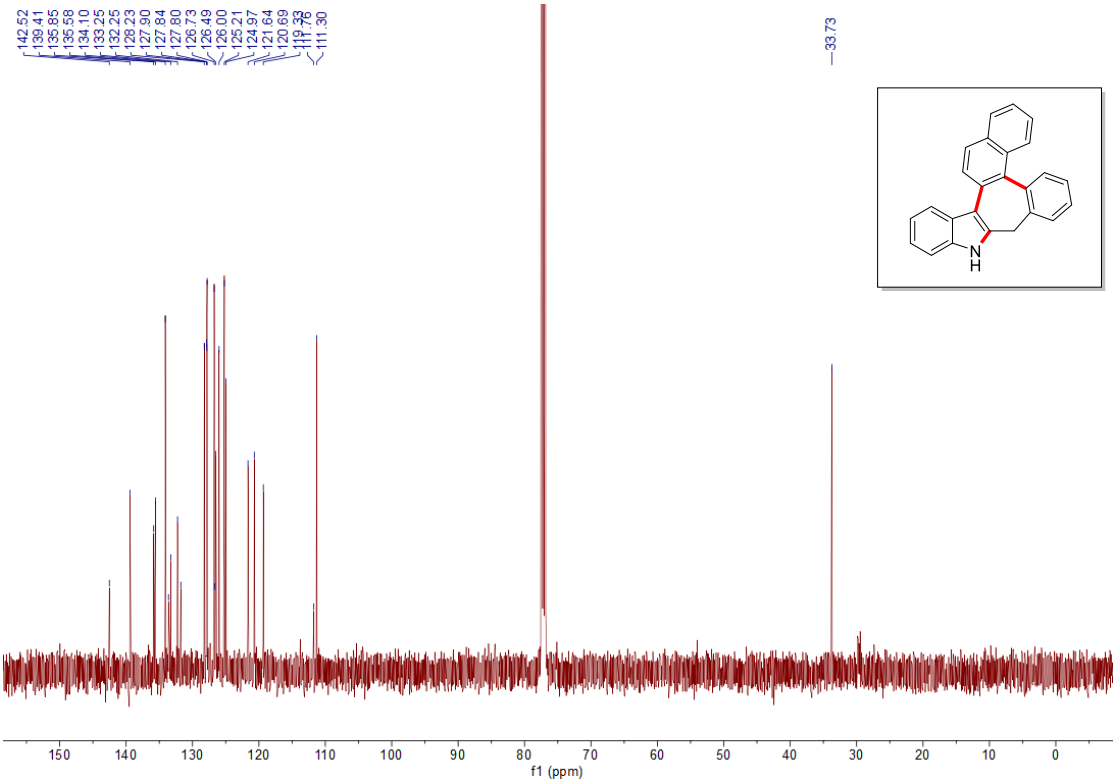
Supplementary Figure 182. ^{13}C NMR Spectrum of 3aE (125 MHz, DMSO–d_6)



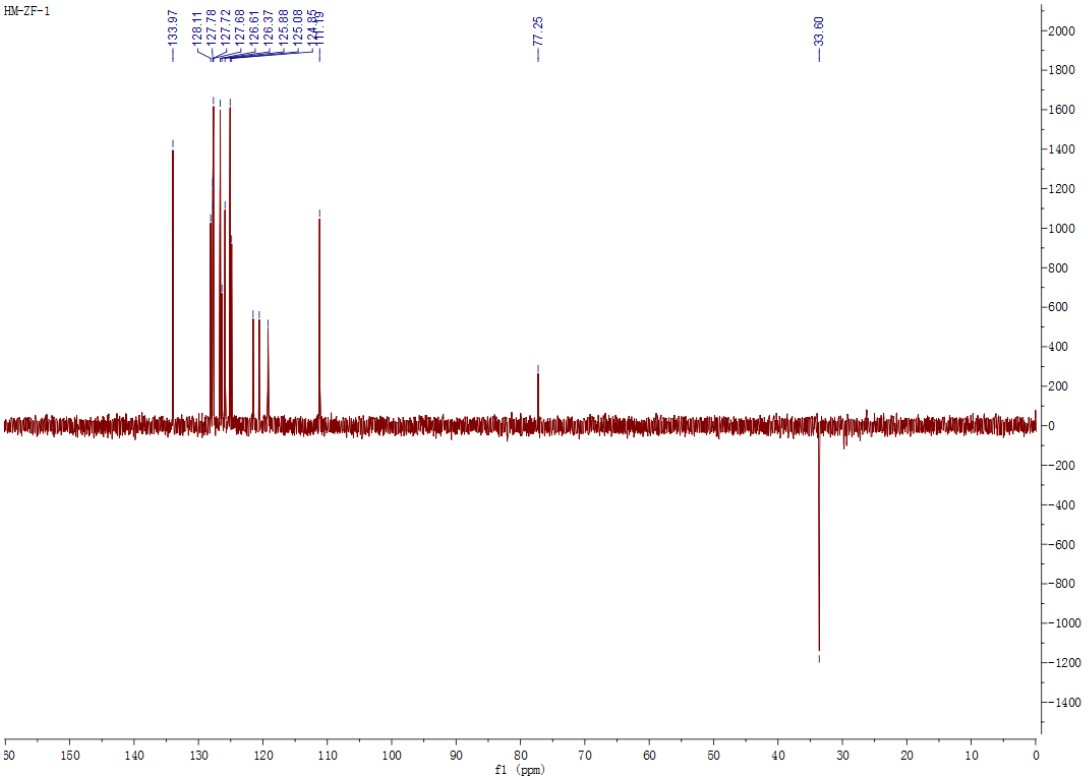
Supplementary Figure 183. ^{1}H NMR Spectrum of 4 (500 MHz, $CDCl_3$)



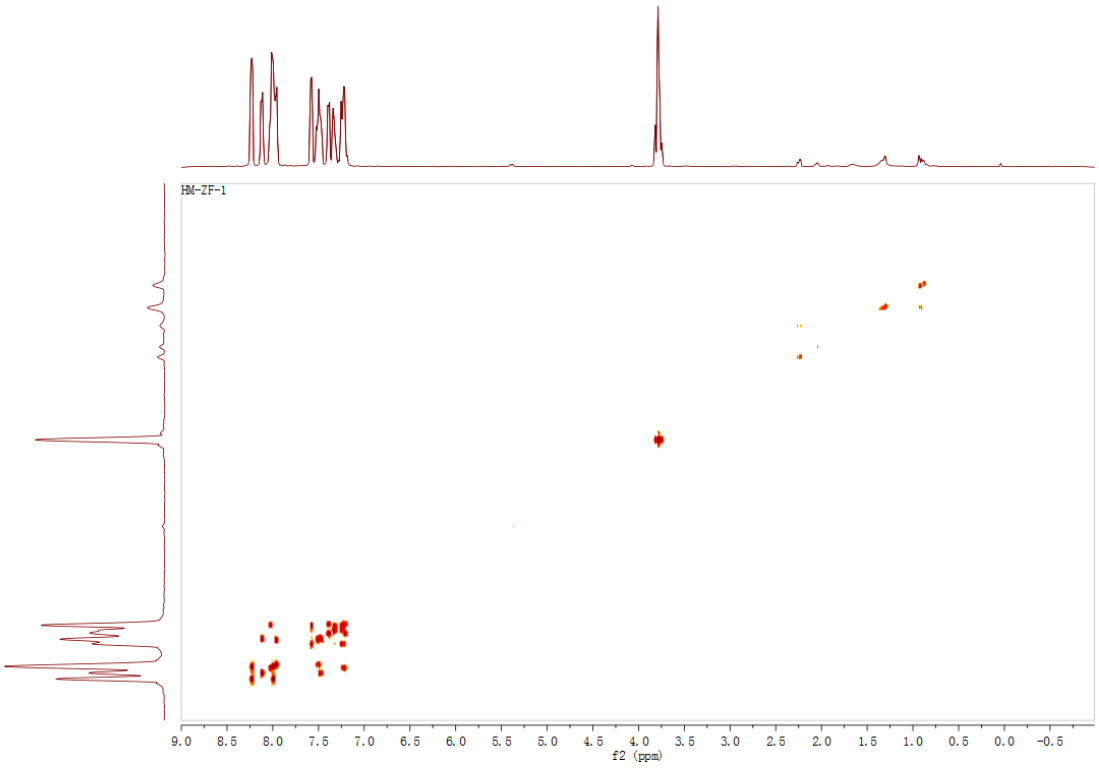
Supplementary Figure 184. ^{13}C NMR Spectrum of 4 (125 MHz, $CDCl_3$)



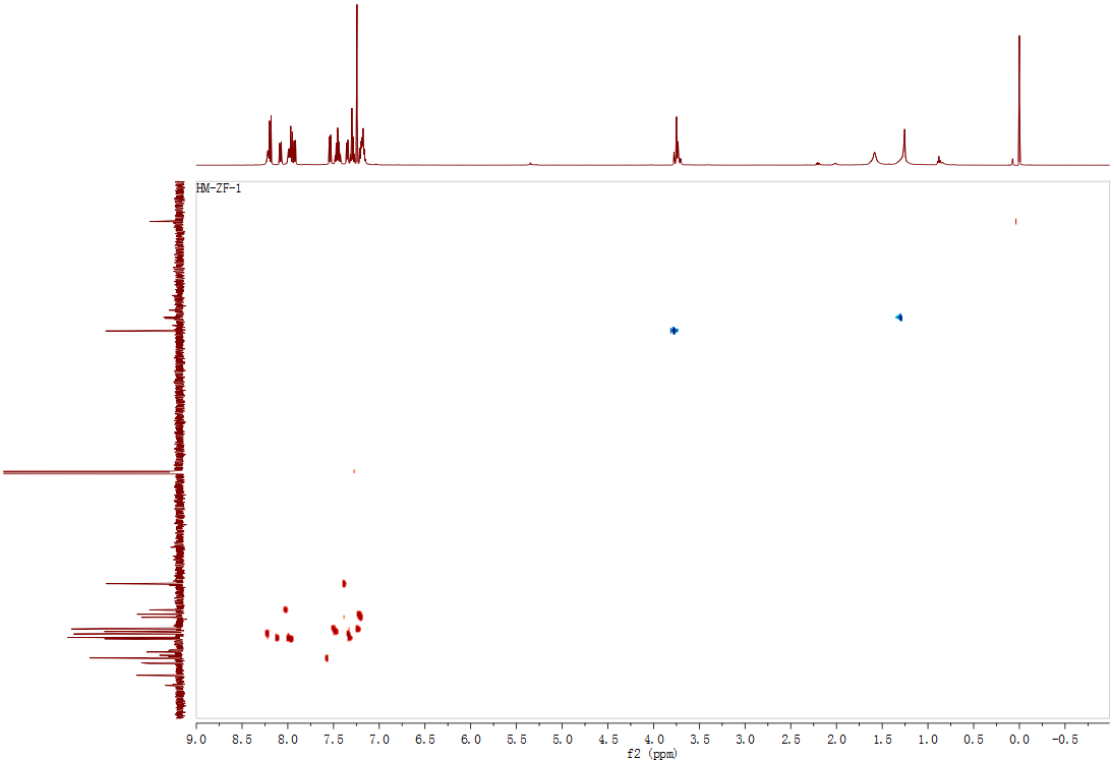
Supplementary Figure 185. DEPT135° Spectrum of 4 (125 MHz, $CDCl_3$)



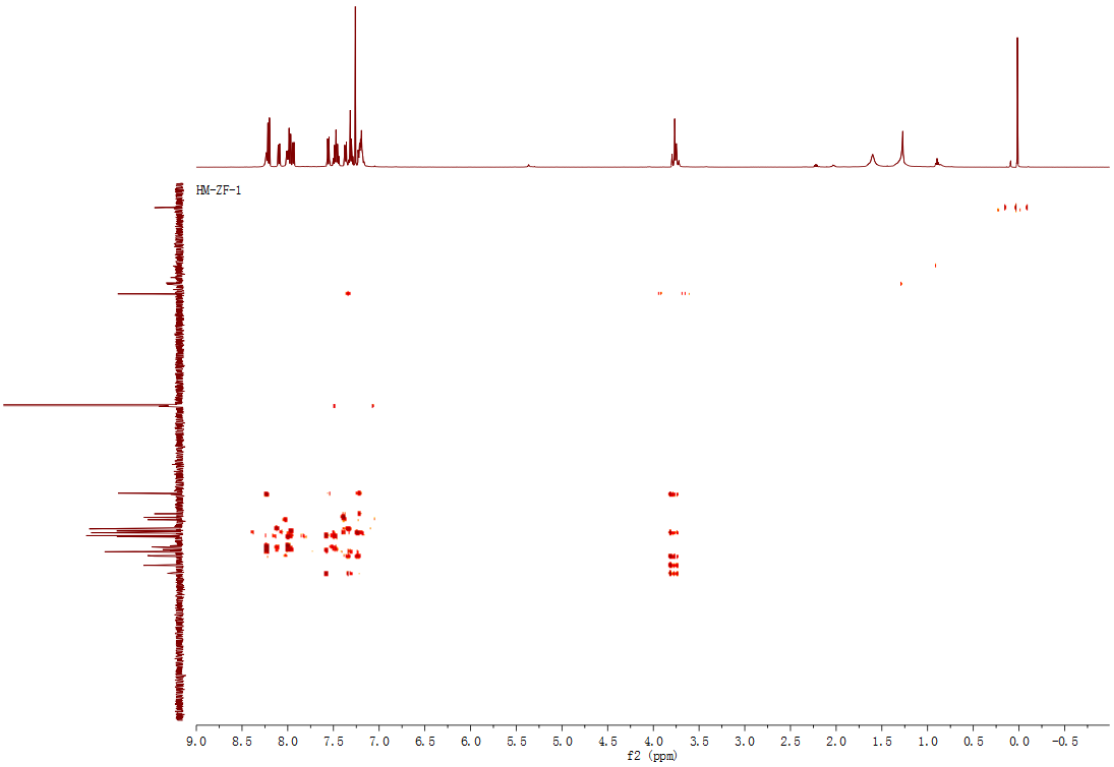
Supplementary Figure 186. ^1H-^1H COSY Spectrum of 4 (500 MHz, $CDCl_3$)



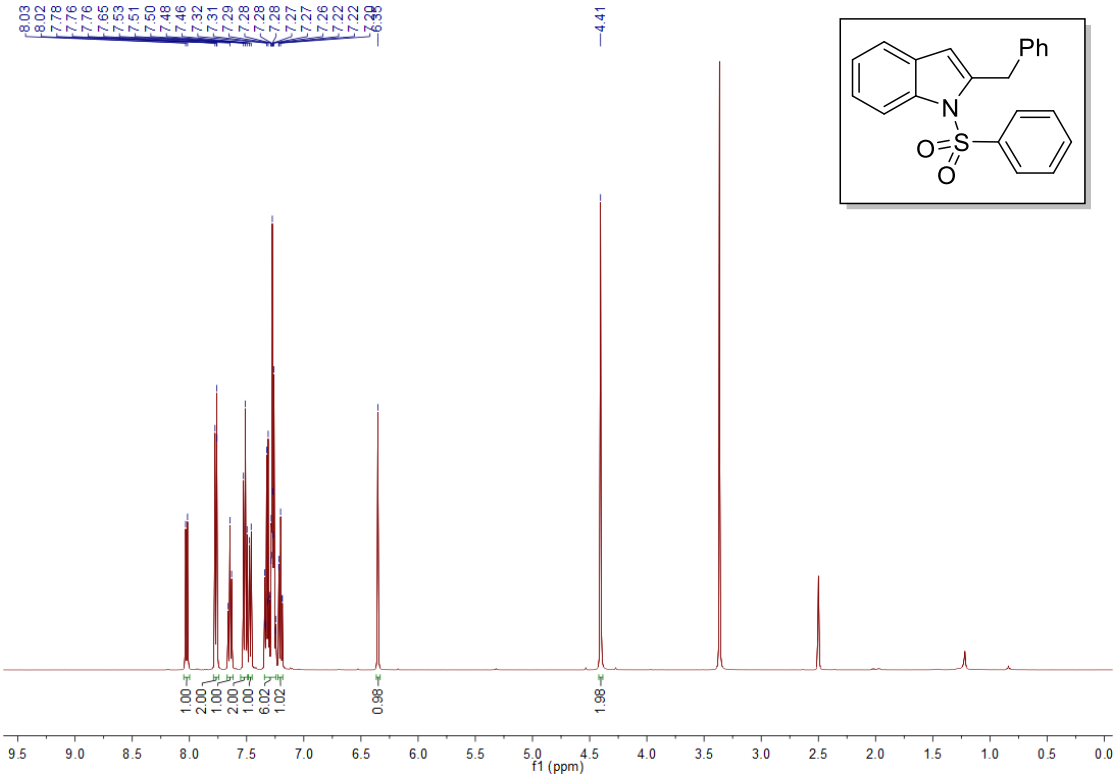
Supplementary Figure 187. HMQC Spectrum of 4 (500 MHz, $CDCl_3$)



Supplementary Figure 188. HMBC Spectrum of 4 (500 MHz, $CDCl_3$)



Supplementary Figure 189. ^{1}H NMR Spectrum of 5 (500 MHz, DMSO–d_6)



Supplementary Figure 190. ^{13}C NMR Spectrum of 5 (125 MHz, DMSO–d_6)