Supporting Information

Regioselective synthesis of α-(2-indolyl) ketone with arylaldehydes via tandem reaction of 2-alkynylanilines

Jianwei Wang,*[,1] Qingxia Zhao,[1] Hangxiao Fu,[1] Jie Li*[,1,2]

[1]College of Pharmaceutical Sciences, Zhejiang University of Technology, Hangzhou, Zhejiang 310014, P. R. China

E-mail: wangjianwei@zjut.edu.cn

[2]Department of Pharmacy, School of Medicine, Hangzhou City University, No. 48, Huzhou Road, Hangzhou 310015, P. R. China

E-mail: lijie@hzcu.edu.cn

Table of Content

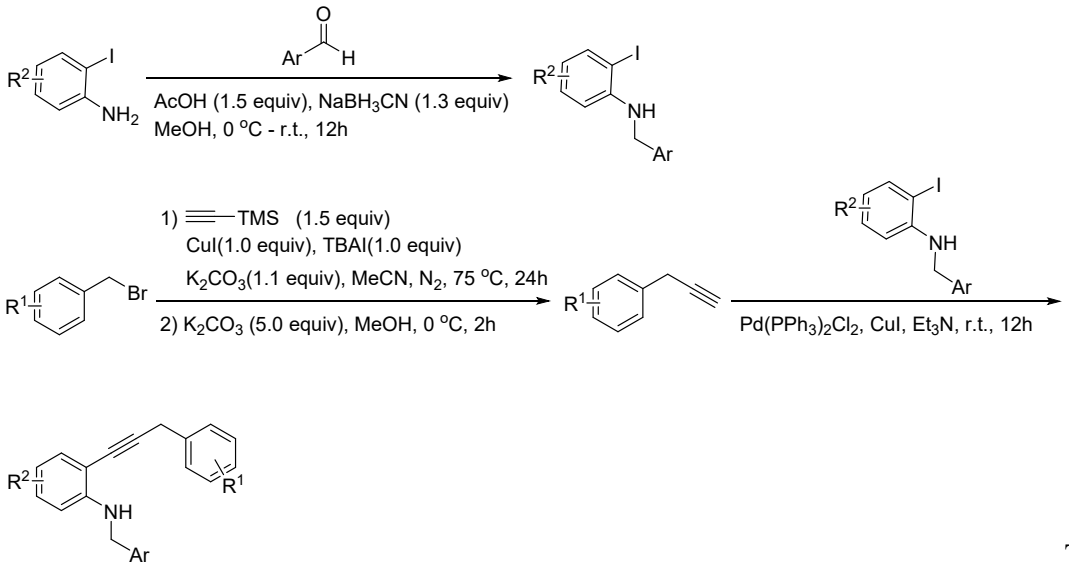
General Information

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

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 Quantum- plus 400 MHz spectrometers 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 1a-1E[1, 2]

General Procedure A



Taking **1a** as an example

To a 50 mL flask equipped with a stir bar was charged with 2-iodoaniline (2.2 g, 10 mmol, 1.0 equiv), benzaldehyde (1.5 mL, 15 mmol, 1.5 equiv), acetic acid (0.86 mL, 15 mmol, 1.5 equiv) and methanol (20 mL). Sodium cyanoborohydride (0.82 g, 13 mmol, 1.3 equiv) was added to the flask at 0 ℃ in two portions within 30 minutes. The resulting solution was then allowed to warm up to room temperature and stirred for 22 h. The reaction mixture was quenched with 25 mL of aqueous saturated $NaHCO_3$ and extracted with EtOAc (3 × 20 mL). The combined organic layers were washed with 30 mL of brine, dried with Na_2SO_4 and filtered. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography (hexane:AcOEt = 40:1) to give the pale yellow oil (2.6 g, 8.4 mmol, 84%).

Under a nitrogen atmosphere, to a 250 mL flask equipped with a stir bar was charged with CuI (2.85 g, 15 mmol, 1.0 equiv), tetrabutylammonium iodide (5.54 g, 15 mmol, 1.0 equiv), K_2CO_3 (2.28 g, 16.5 mmol, 1.1 equiv), and dry acetonitrile (60 mL) at room temperature. Benzyl bromide (2.6 g, 15 mmol, 1.0 equiv) and trimethylsilylacetylene (2.22 g, 22.5 mmol, 1.5 equiv) were added to the flask sequentially. The flask was capped, removed from the glovebox and stirred at 75 ℃ in an oil bath for 24 h. After that time, the reaction mixture was cooled to room

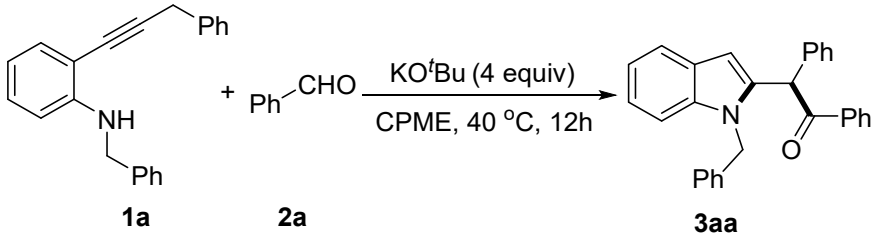
temperature, opened to air, quenched with 60 mL of aqueous saturated NH_4Cl aqueous solution and extracted with EtOAc (3 × 40 mL). The combined organic layers were washed with 40 mL of brine, dried with Na_2SO_4, and filtered. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel (eluted with petroleum ether) to give the product as yellow oil (2.43 g, 13 mmol, 86% yield).

In a 250 mL flask with a stir bar, the above yellow oil (2.43 g, 13 mmol, 1.0 equiv) was dissolved in 50 mL of MeOH and K_2CO_3 (8.98 g, 65 mmol, 5.0 equiv) was added at 0 ℃. After stirring for 2 h, the reaction mixture was quenched with 60 mL of H_2O and extracted with *n*-pentane (3 × 30 mL). The organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure in an ice-bath. The crude product 3-phenyl-1-propyne (1.39 g, 80% yield in 2 steps) was directly used in the next step without further purification.

In the glovebox with dry nitrogen atmosphere, a 100 mL flask with a stir bar was charged with *N*-benzyl-2-iodoaniline (2.47 g, 8 mmol, 1.0 equiv), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol, 0.02 equiv) and CuI (15 mg, 0.08 mmol, 0.01 equiv). 16 mL of Et_3N was added to the flask and stirred at room temperature for 5 minutes. After that time, 3-phenyl-1-propyne (1.39 g, 12 mmol, 1.5 equiv) was added dropwise. The reaction mixture was stirred at room temperature for 12 h. The flask was opened to the air, quenched with 30 mL of aqueous saturated NH_4Cl aqueous solution and extracted with CH_2Cl_2 (3 × 30 mL). The combined organic layers were dried over Na_2SO_4 and filtered. The residue was concentrated under reduced pressure. The crude product was loaded onto a silica gel column and purified by flash chromatography (eluted with hexanes:EtOAc = 20:1) to give the product **1a** as yellow solid (2.0 g, 84%).

Synthetic methods to α-(2-indolyl) ketone

General Procedure B



1a **2a** **3aa**

Taking **3aa** as an example

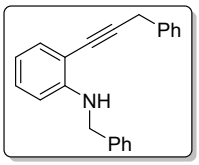
An oven-dried 10 mL vial equipped with a stir bar was charged with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline (**1a**) (29.7 mg, 0.1 mmol, 1.0 equiv) under a nitrogen atmosphere in a glovebox. CPME (1 mL) and benzaldehyde (**2a**) (26.5 mg, 0.25 mmol, 2.5 equiv) was added to the reaction vial followed by addition of KO^{t}Bu (44.8 mg, 0.4 mmol, 4.0 equiv). Upon addition of the base, the color of the reaction mixture turned to yellow. The vial was capped, removed from the glovebox and stirred at 40 ℃ in an oil bath for 12 h. After that time, the vial was removed from the oil bath, cooled to room temperature, opened to the air and quenched with 3 drops of saturated NH_4Cl aqueous solution immediately. The resulting solution was passed through a short pad of silica gel and eluted with dichloromethane (3 × 2 mL). The combined organic solution was concentrated under reduced pressure. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product **3aa** (37.3 mg, 93% yield) as gray white solid.

Gram-scale synthesis of 3Ca

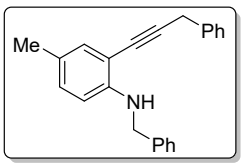
A 100 mL flame-dried flask with a stir bar was charged with 2-(3-phenylprop-1-yn-1-yl)-*N*-(4-(trifluoromethoxy)benzyl)aniline (**1C**) (1.144 g, 3 mmol, 1.0 equiv) under a nitrogen atmosphere in a glovebox. THF (30 mL), benzaldehyde (**2a**) (0.796 g, 7.5 mmol, 2.5 equiv) and KO^{t}Bu (1.347 g, 12 mmol, 4.0 equiv) were added to the flask sequentially. Upon addition of the base, the color of the reaction mixture turned to yellow. The flask was capped, removed from the glovebox, and stirred at 60 °C in an oil bath for 12 h. After that time, the flask was removed from the oil bath, cooled to room temperature, and quenched with 30 mL of saturated NH_4Cl aqueous solution. The mixture was extracted with dichloromethane (3 ×30 mL) and the combined organic

solution was 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 hexanes:EtOAc = 40:1) to give the product **3Ca** (1.019g, 70%) as gray white solid.

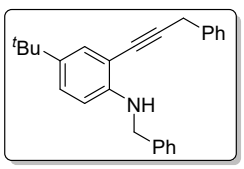
Characterization Data for Starting Materials



***N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline (1a).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.47 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.0 g, 84% yield) as yellow solid. mp = 61–63 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.45 – 7.38 (m, 5H), 7.37 – 7.27 (m, 6H), 7.18 (t, J = 7.8 Hz, 1H), 6.68 (t, J = 7.5 Hz, 1H), 6.61 (d, J = 8.3 Hz, 1H), 5.13 (s, 1H), 4.44 (s, 2H), 3.93 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.9, 139.3, 137.0, 132.2, 129.6, 128.8, 128.7, 128.0, 127.31, 127.29, 126.8, 116.7, 109.9, 108.3, 93.6,79.4, 47.9, 26.2; IR (KBr): 3084, 3029, 2928, 2854, 2174 ($\nu_{C\equiv C}$), 1608, 1514, 1455, 1146, 729, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{20}N^+$ 298.1590 found 298.1596.

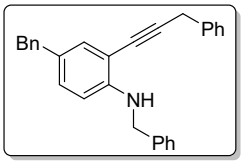


***N*-benzyl-4-methyl-2-(3-phenylprop-1-yn-1-yl)aniline (1b).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodo-4-methylaniline (2.58 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.1 g, 84% yield) as yellow solid. mp = 49–51 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.56 (d, J = 7.6 Hz, 2H), 7.53 – 7.43 (m, 7H), 7.42 – 7.36 (m, 2H), 7.12 (d, J = 8.4 Hz, 1H), 6.67 (d, J = 8.3 Hz, 1H), 5.12 (s, 1H), 4.52 (s, 2H), 4.03 (s, 2H), 2.39 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 146.8, 139.4, 136.9, 132.5, 130.2, 128.64, 128.61, 127.9, 127.2, 127.1, 126.7, 125.6, 110.1, 108.2, 93.2, 79.6, 48.0, 26.1, 20.2; IR (KBr): 3084, 3029, 2914, 2855, 2173 ($\nu_{C\equiv C}$), 1611, 1514, 1453, 1144, 731, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{22}N^+$ 312.1747 found 312.1761.

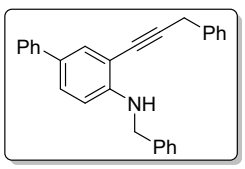


***N*-benzyl-4-(*tert*-butyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1c).** The reaction was performed following General Procedure A with

N-benzyl-4-(*tert*-butyl)-2-iodoaniline (2.92 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.0 g, 71% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.59 – 7.53 (m, 3H), 7.53 – 7.41 (m, 7H), 7.40 – 7.33 (m, 2H), 6.70 (d, J = 8.6 Hz, 1H), 5.11 (s, 1H), 4.52 (s, 2H), 4.03 (s, 2H), 1.45 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 146.8, 139.5, 139.3, 137.0, 129.0, 128.7, 128.6, 128.0, 127.3, 127.2, 126.7, 126.6, 109.8, 107.8, 93.0, 80.0, 48.1, 33.8, 31.5, 26.1; IR (KBr): 3086, 3030, 2960, 2866, 2171 ($\nu_{C\equiv C}$), 1608, 1509, 1453, 1149, 729, 696 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{28}N^+$ 354.2216 found 354.2207.

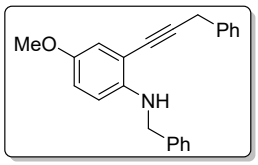


***N*,4-dibenzyl-2-(3-phenylprop-1-yn-1-yl)aniline (1d).** The reaction was performed following General Procedure A with *N*,4-dibenzyl-2-iodoaniline (3.19 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 mL) at room 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 (2.3 g, 74% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, J = 7.5 Hz, 2H), 7.70 – 7.61 (m, 8H), 7.61 – 7.56 (m, 3H), 7.54 – 7.56 (m, 3H), 7.32 (d, J = 8.3 Hz, 1H), 6.87 (d, J = 8.4 Hz, 1H), 5.38 (s, 1H), 4.64 (s, 2H), 4.18 (s, 2H), 4.16 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 147.3, 141.6, 139.2, 136.8, 132.4, 130.1, 129.1, 128.8, 128.6, 128.5, 128.3, 127.8, 127.14, 127.06, 126.6, 125.9, 110.1, 108.2, 93.5, 79.6, 47.8, 40.8, 25.9; IR (KBr): 3082, 3026, 2900, 2843, 2177 ($\nu_{C\equiv C}$), 1610, 1514, 1453, 1144, 729, 697 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{29}H_{26}N^+$ 388.2060 found 388.2063.

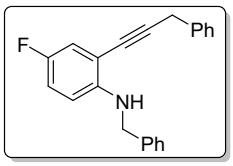


***N*-benzyl-3-(3-phenylprop-1-yn-1-yl)-[1,1'-biphenyl]-4-amine (1e).** The reaction was performed following General Procedure A with *N*-benzyl-3-iodo-[1,1'-biphenyl]-4-amine (3.08 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.4 g, 80% yield) as yellow solid. mp = 93–94 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (s, 1H), 7.59 (d, J = 7.7 Hz, 2H), 7.49 – 7.40 (m, 9H), 7.40 – 7.30 (m, 5H), 6.71 (d, J = 8.5 Hz, 1H), 5.25 (s, 1H), 4.50 (s, 2H), 3.97 (s, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 148.2, 140.7, 139.1, 136.9, 130.8, 129.7, 128.80, 128.77, 128.7, 128.3, 128.0, 127.4, 127.3, 126.8, 126.4, 110.4, 108.7, 93.8, 79.4, 47.9, 26.2, one resonance was not observed due to coincidental overlap; IR (KBr): 3082, 3026, 2922, 2843, 2177 ($\nu_{C\equiv C}$), 1608, 1520, 1451, 1146, 728, 694 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{28}H_{24}N^+$ 374.1903 found 374.1913.

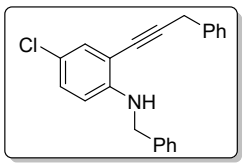


***N*-benzyl-4-methoxy-2-(3-phenylprop-1-yn-1-yl)aniline (1f).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodo-4-methoxyaniline (2.71 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.2 g, 84% yield) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.46 – 7.37 (m, 6H), 7.36 – 7.27 (m, 4H), 6.99 (d, J = 3.0 Hz, 1H), 6.84 – 6.80 (m, 1H), 6.57 (d, J = 8.9 Hz, 1H), 4.82 (s, 1H), 4.40 (s, 2H), 3.93 (s, 2H), 3.77 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 151.1, 143.8, 139.6, 136.8, 128.7, 128.0, 127.3, 127.2, 126.8, 117.2, 116.4, 111.4, 108.9, 93.6, 79.4, 56.0, 48.6, 26.1, one resonance was not observed due to coincidental overlap; IR (KBr): 3086, 3028, 2927, 2833, 2170 ($\nu_{C\equiv C}$), 1600, 1508, 1454, 1281, 1169, 731, 698 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{23}H_{22}NO^+$ 328.1696 found 328.1707.

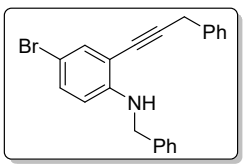


***N*-benzyl-4-fluoro-2-(3-phenylprop-1-yn-1-yl)aniline (1g).** The reaction was performed following General Procedure A with *N*-benzyl-4-fluoro-2-iodoaniline (2.62 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (1.9 g, 75% yield) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.46

– 7.39 (m, 6H), 7.39 – 7.29 (m, 4H), 7.16 – 7.10 (m, 1H), 6.95 – 6.88 (m, 1H), 6.54 – 6.49 (m, 1H), 4.98 (s, 1H), 4.41 (s, 2H), 3.94 (s, 2H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 154.6 (d, $J^{1}_{C(Ar)-F}$ = 234.5 Hz), 145.6 139.0, 136.6, 128.78, 128.75, 127.9, 127.34, 127.25, 126.9, 118.4 (d, $J^{2}_{C(Ar)-F}$ = 23.8 Hz), 116.3 (d, $J^{2}_{C(Ar)-F}$ = 22.2 Hz), 110.7 (d, $J^{3}_{C(Ar)-F}$ = 7.9 Hz), 108.9 (d, $J^{3}_{C(Ar)-F}$ = 9.1 Hz), 94.5, 78.5 (d, $J^{4}_{C(Ar)-F}$ = 3.2 Hz), 48.3, 26.1; IR (KBr): 3084, 3028, 2928, 2859, 2171 ($\nu_{C\equiv C}$), 1591, 1508, 1455,1264, 1164, 731, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{19}FN^+$ 316.1496 found 316.1509.

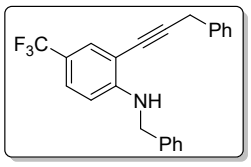


***N*-benzyl-4-chloro-2-(3-phenylprop-1-yn-1-yl)aniline (1h).** The reaction was performed following General Procedure A with *N*-benzyl-4-chloro-2-iodoaniline (2.74 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (1.8 g, 68% yield) as yellow solid. mp = 64–65 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.48 (d, *J* = 2.4 Hz, 1H), 7.41– 7.37 (m, 3H), 7.36 – 7.30 (m, 6H), 7.29 (d, *J* = 6.9 Hz, 1H), 7.24 – 7.18 (m, 1H), 6.43 (d, *J* = 8.8 Hz, 1H), 5.12 (s, 1H), 4.37 (s, 2H), 3.90 (s, 2H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 147.7, 138.6, 136.5, 134.3, 132.1, 128.8, 128.7, 127.9, 127.4, 127.2, 126.8, 111.4, 110.1, 107.7, 94.9, 78.1, 47.7, 26.1; IR (KBr): 3086, 3028, 2929, 2848, 2174 ($\nu_{C\equiv C}$), 1589, 1496, 1454, 1265, 1142, 723, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{19}ClN^+$ 332.1201 found 332.1206.

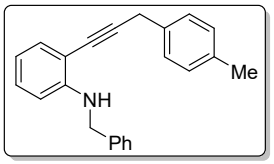


***N*-benzyl-4-bromo-2-(3-phenylprop-1-yn-1-yl)aniline (1i).** The reaction was performed following General Procedure A with *N*-benzyl-4-bromo-2-iodoaniline (3.10 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 mL) 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 (1.9 g, 63% yield) as yellow solid. mp = 67–68 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 (s, 1H), 7.52 – 7.44 (m, 6H), 7.43 – 7.35 (m, 4H), 7.32 (d, *J* = 9.2

Hz, 1H), 6.53 (d, J = 8.8 Hz, 1H), 5.20 (s, 1H), 4.45 (s, 2H), 3.99 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 147.8, 138.6, 136.5, 134.2, 132.1, 128.8, 128.7, 127.9, 127.3, 127.1, 126.8, 111.4, 110.1, 107.7, 94.9, 78.1, 47.7, 26.0; IR (KBr): 3086, 3028, 2927, 2854, 2171 ($\nu_{C\equiv C}$), 1591, 1496, 1454, 1266, 1141, 725, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{22}H_{19}BrN^+$ 376.0695 found 376.0708.

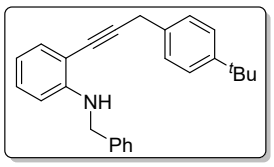


***N*-benzyl-2-(3-phenylprop-1-yn-1-yl)-4-(trifluoromethyl) aniline (1j).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodo-4-(trifluoromethyl)aniline (3.02 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.0 g, 68% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.66 (s, 1H), 7.45 – 7.39 (m, 7H), 7.38 – 7.29 (m, 4H), 6.63 (d, J = 8.7 Hz, 1H), 5.43 (s, 1H), 4.47 (s, 2H), 3.94 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 150.9, 138.3, 136.5, 129.4 (q, $J^3_{C(Ar)-F}$ = 3.8 Hz), 128.9, 128.8, 128.0, 127.6, 127.2, 127.0, 126.6 (q, $J^3_{C(Ar)-F}$ = 3.8 Hz), 124.7 (q, J^1_{C-F} = 269.0 Hz), 118.5 (q, $J^2_{C(Ar)-F}$ = 32.9 Hz), 109.2, 108.2, 95.0, 78.1, 47.5, 26.1; IR (KBr): 3082, 3030, 2927, 2854, 2181 ($\nu_{C\equiv C}$), 1604, 1514, 1452, 1163, 731, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{23}H_{19}F_3N^+$ 366.1464 found 366.1468.

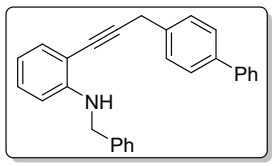


***N*-benzyl-2-(3-(p-tolyl)prop-1-yn-1-yl)aniline (1k).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.53 g, 8.2 mmol), $Pd(PPh_3)_2Cl_2$ (115 mg, 0.164 mmol), CuI (16 mg, 0.082 mmol), 1-methyl-4-(prop-2-yn-1-yl)benzene (1.60 g, 12.3 mmol) dissolved in Et_3N (16.5 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 (2.1g ,82% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.46 – 7.39 (m, 5H), 7.38 – 7.32 (m, 3H), 7.24 – 7.16 (m, 3H), 6.72 (t, J = 7.5 Hz, 1H), 6.65 (d, J = 8.3 Hz, 1H), 5.14 (s, 1H), 4.46 (s, 2H), 3.91 (s, 2H), 2.42 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.8, 139.2, 136.2, 133.8, 132.2, 129.5, 129.3, 128.7, 127.8, 127.3, 127.2, 116.6, 109.8, 108.3, 93.9, 79.2, 47.8,

25.7, 21.1; IR (KBr): 3062, 3026, 2949, 2924, 2870, 2848, 2173 ($\nu_{C\equiv C}$), 1600, 1502, 1452, 1157, 753, 693 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{22}N^+$ 312.1747 found 312.1757.

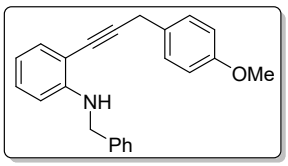


_N_-benzyl-2-(3-(4-(*tert*-butyl)phenyl)prop-1-yn-1-yl)aniline (1l). The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (1.95 g, 6.3 mmol), $Pd(PPh_3)_2Cl_2$ (88 mg, 0.126 mmol), CuI (12 mg, 0.063 mmol), 1-(*tert*-butyl)-4-(prop-2-yn-1-yl)benzene (1.65 g, 9.5 mmol) dissolved in Et_3N (12.5 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 (1.4 g, 63% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.48 – 7.45 (m, 3H), 7.44 – 7.35 (m, 7H), 7.23 (t, J = 7.8 Hz, 1H), 6.74 (t, J = 7.4 Hz, 1H), 6.66 (d, J = 8.3 Hz, 1H), 5.20 (s, 1H), 4.49 (s, 2H), 3.95 (s, 2H), 1.43 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 149.6, 148.8, 139.3, 133.9, 132.2, 129.5, 128.7, 127.6, 127.33, 127.26, 125.6, 116.6, 109.9, 108.3, 93.8, 79.2, 47.8, 34.5, 31.5, 25.6; IR (KBr): 3062, 3028, 2960, 2868, 2179 ($\nu_{C\equiv C}$), 1601, 1508, 1454, 1161, 745, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{28}N^+$ 354.2216 found 354.2214.

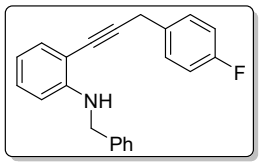


2-(3-([1,1'-biphenyl]-4-yl)prop-1-yn-1-yl)-_N_-benzylaniline (1m). The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.35 g, 7.6 mmol), $Pd(PPh_3)_2Cl_2$ (106 mg, 0.152 mmol), CuI (14 mg, 0.076 mmol), 4-(prop-2-yn-1-yl)-1,1'-biphenyl (2.19 g, 11.4 mmol) dissolved in Et_3N (15 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 (2.2 g, 78% yield) as yellow solid. mp = 84–85 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.63 (d, J = 7.7 Hz, 2H), 7.56 (d, J = 8.2 Hz, 2H), 7.52 – 7.46 (m, 4H), 7.43 – 7.34 (m, 6H), 7.30 (t, J = 7.0 Hz, 1H), 7.19 (t, J = 8.2 Hz, 1H), 6.70 (t, J = 7.5 Hz, 1H), 6.63 (d, J = 8.3 Hz, 1H), 5.18 (s, 1H), 4.45 (s, 2H), 3.97 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.8, 140.9, 139.8, 139.2, 136.0, 132.3, 129.6, 128.9, 128.8, 128.4, 127.44, 127.37, 127.35, 127.3, 127.2, 116.7, 110.0, 108.2, 93.6, 79.5, 47.9, 25.9; IR (KBr): 3066, 3026, 2924, 2852, 2179 ($\nu_{C\equiv C}$),

1600, 1508, 1454, 1163, 746, 698 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{28}H_{24}N^+$ 374.1903 found 374.1909.

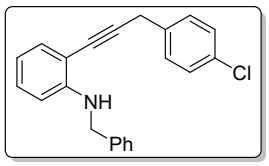


***N*-benzyl-2-(3-(4-methoxyphenyl)prop-1-yn-1-yl)aniline (1n).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.56 g, 8.3 mmol), $Pd(PPh_3)_2Cl_2$ (116 mg, 0.166 mmol), CuI (16 mg, 0.083 mmol), 1-methoxy-4-(prop-2-yn-1-yl)benzene (1.83 g, 12.5 mmol) dissolved in Et_3N (17 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 (2.3 g, 85% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.49 – 7.41 (m, 5H), 7.40 – 7.34 (m, 3H), 7.24 (t, J = 7.7 Hz, 1H), 6.92 (d, J = 7.3 Hz, 2H), 6.75 (t, J = 7.6 Hz, 1H), 6.68 (d, J = 8.3 Hz, 1H), 5.17 (s, 1H), 4.46 (s, 2H), 3.90 (s, 2H), 3.85 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 158.4, 148.8, 139.2, 132.1, 129.4, 128.8, 128.6, 127.23, 127.15, 116.5, 114.0, 109.8, 108.3, 94.1, 79.1, 55.2, 47.7, 25.2, one resonance was not observed due to coincidental overlap; IR (KBr): 3062, 3030, 2929, 2854, 2835, 2179 ($\nu_{C\equiv C}$), 1600, 1500, 1452, 1246, 1161, 747, 698 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{23}H_{22}NO^+$ 328.1696 found 328.1692.

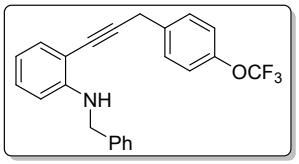


***N*-benzyl-2-(3-(4-fluorophenyl)prop-1-yn-1-yl)aniline (1o).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.41 g, 7.8 mmol), $Pd(PPh_3)_2Cl_2$ (109 mg, 0.156 mmol), CuI (15 mg, 0.078 mmol), 1-fluoro-4-(prop-2-yn-1-yl)benzene (1.57g, 11.7 mmol) dissolved in Et_3N (16 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 (1.7 g, 69% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.44 – 7.41 (m, 5H), 7.40 – 7.34 (m, 3H), 7.25 – 7.21 (m, 1H), 7.07 – 7.01 (m, 2H), 6.73 (t, J = 7.5 Hz, 1H), 6.66 (d, J = 8.2 Hz, 1H), 5.09 (s, 1H), 4.45 (s, 2H), 3.90 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 161.7 (d, $J^1_{C(Ar)\text{-}F}$ = 244.6 Hz), 148.9, 139.1, 132.5 (d, $J^3_{C(Ar)\text{-}F}$ = 3.1 Hz), 132.2, 129.7, 129.4, 129.3, 128.8, 127.3, 116.7, 115.4 (d, $J^2_{C(Ar)\text{-}F}$ = 21.5 Hz), 109.9, 108.0, 93.4, 79.6, 47.8, 25.4; IR

(KBr): 3066, 3032, 2919, 2848, 2177 ($\nu_{C\equiv C}$), 1601, 1508, 1454, 1238, 1157, 747, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{19}FN^+$ 316.1496 found 316.1493.

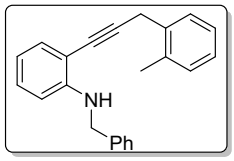


***N*-benzyl-2-(3-(4-chlorophenyl)prop-1-yn-1-yl)aniline (1p).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.22 g, 7.2 mmol), $Pd(PPh_3)_2Cl_2$ (101 mg, 0.144 mmol), CuI (14 mg, 0.072 mmol), 1-chloro-4-(prop-2-yn-1-yl)benzene (1.45 g, 10.8 mmol) dissolved in Et_3N (14.5 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 (1.6 g, 67% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.47 – 7.41 (m, 5H), 7.41 – 7.31 (m, 5H), 7.28 – 7.23 (m, 1H), 6.75 (t, *J* = 7.5 Hz, 1H), 6.68 (d, *J* = 8.2 Hz, 1H), 5.10 (s, 1H), 4.47 (s, 2H), 3.91 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.8, 139.0, 135.3, 132.4, 132.2, 129.7, 129.2, 128.72, 128.69, 127.3, 116.6, 109.9, 107.9, 93.0, 79.7, 47.8, 25.5, one resonance was not observed due to coincidental overlap; IR (KBr): 3066, 3028, 2920, 2850, 2174 ($\nu_{C\equiv C}$), 1600, 1507, 1454, 1230, 1161, 747, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{19}ClN^+$ 332.1201 found 332.1204.

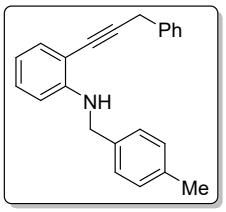


***N*-benzyl-2-(3-(4-(trifluoromethoxy)phenyl)prop-1-yn-1-yl)aniline (1q).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.29 g, 7.4 mmol), $Pd(PPh_3)_2Cl_2$ (104 mg, 0.148 mmol), CuI (14 mg, 0.074 mmol), 1-(prop-2-yn-1-yl)-4-(trifluoromethoxy)benzene (2.22 g, 11.1mmol) dissolved in Et_3N (15 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 (2.3 g, 82% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.47 – 7.40 (m, 7H), 7.39 – 7.35 (m, 1H), 7.25 – 7.18 (m, 3H), 6.74 (t, *J* = 7.5 Hz, 1H), 6.68 (d, *J* = 8.3 Hz, 1H), 5.09 (s, 1H), 4.45 (s, 2H), 3.92 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.9, 148.0 (d, $J^2_{C(Ar)\text{-}F}$ = 2.0 Hz), 139.1, 135.6, 132.2, 129.8, 129.2, 128.8, 127.4, 121.2, 120.6 (q, $J^1_{C\text{-}F}$ = 256.9 Hz), 116.7, 109.9, 107.9, 92.8, 79.9, 47.8, 25.5, one resonance was not observed due to coincidental overlap; IR (KBr): 3066, 3030, 2927, 2850, 2175 ($\nu_{C\equiv C}$), 1601, 1508, 1454,

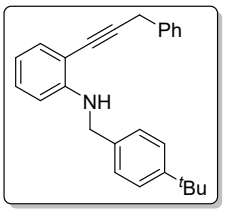
1260, 1162, 747, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{19}F_3NO^+$ 382.1413 found 382.1420.



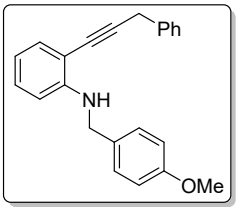
***N*-benzyl-2-(3-(*o*-tolyl)prop-1-yn-1-yl)aniline (1r).** The reaction was performed following General Procedure A with *N*-benzyl-2-iodoaniline (2.44 g, 7.9 mmol), $Pd(PPh_3)_2Cl_2$ (111 mg, 0.158 mmol), CuI (15 mg, 0.079 mmol), 1-methyl-2-(prop-2-yn-1-yl)benzene (1.55 g, 11.9 mmol) dissolved in Et_3N (16 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 (1.8 g, 73% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.65 – 7.61 (m, 1H), 7.50 – 7.46 (m, 5H), 7.45 – 7.40 (m, 1H), 7.33 – 7.27 (m, 4H), 6.79 (t, *J* = 7.5 Hz, 1H), 6.71 (d, *J* = 8.2 Hz, 1H), 5.20 (s, 1H), 4.52 (s, 2H), 3.94 (s, 2H), 2.47 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.8, 139.2, 135.9, 135.1, 132.2, 130.2, 129.5, 128.7, 128.3, 127.30, 127.25, 127.0, 126.3, 116.6, 109.9, 108.3, 93.2, 79.5, 47.8, 24.3, 19.4; IR (KBr): 3062, 3030, 2919, 2848, 2174 ($\nu_{C\equiv C}$), 1600, 1507, 1454, 1161, 741, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{22}N^+$ 312.1747 found 312.1747.



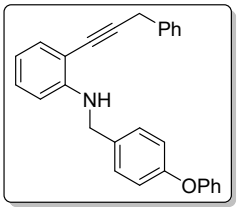
***N*-(4-methylbenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1s).** The reaction was performed following General Procedure A with 2-iodo-*N*-(4-methylbenzyl)aniline (2.58 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.2 g, 88% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.55 – 7.51 (m, 3H), 7.47 – 7.37 (m, 5H), 7.32 – 7.28 (m, 3H), 6.80 (t, *J* = 7.2 Hz, 1H), 6.74 (d, *J* = 8.6 Hz, 1H), 5.21 (s, 1H), 4.48 (s, 2H), 4.02 (s, 2H), 2.51 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.9, 136.9, 136.7, 136.1, 132.1, 129.5, 129.4, 128.6, 127.9, 127.3, 126.7, 116.5, 109.8, 108.1, 93.5, 79.4, 47.5, 26.1, 21.2; IR (KBr): 3086, 3030, 2960, 2862, 2171 ($\nu_{C\equiv C}$), 1610, 1509, 1454, 1281, 1154, 727, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{22}N^+$ 312.1747 found 312.1745.



***N*-(4-(*tert*-butyl)benzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1t).** The reaction was performed following General Procedure A with *N*-(4-(*tert*-butyl)benzyl)-2-iodoaniline (2.92 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.1 g, 74% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.49 – 7.42 (m, 5H), 7.40 – 7.29 (m, 5H), 7.23 (d, J = 6.8 Hz, 1H), 6.76 – 6.67 (m, 2H), 5.13 (s, 1H), 4.44 (s, 2H), 3.96 (s, 2H), 1.44 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 150.1, 149.0, 136.9, 136.1, 132.2, 129.5, 128.7, 127.9, 127.1, 126.7, 125.7, 116.5, 109.8, 108.2, 93.5, 79.5, 47.5, 34.6, 31.5, 26.1; IR (KBr): 3064, 3030, 2949, 2931, 2887, 2854, 2177 ($\nu_{C\equiv C}$), 1601, 1503, 1450, 1173, 751, 692 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{28}N^+$ 354.2216 found 354.2206.

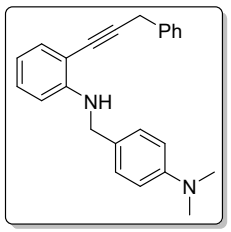


***N*-(4-methoxybenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1u).** The reaction was performed following General Procedure A with 2-iodo-*N*-(4-methoxybenzyl)aniline (2.71 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.2 g, 84% yield) as yellow solid. mp = 67–69°C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.61 – 7.54 (m, 3H), 7.53 – 7.41 (m, 5H), 7.36 (t, J = 7.8 Hz, 1H), 7.08 (d, J = 7.8 Hz, 2H), 6.86 (t, J = 7.4 Hz, 1H), 6.80 (d, J = 8.1 Hz, 1H), 5.21 (s, 1H), 4.50 (s, 2H), 4.07 (s, 2H), 3.97 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 158.8, 148.8, 136.8, 132.1, 131.0, 129.5, 128.6, 128.5, 127.9, 126.6, 116.5, 114.0, 109.8, 108.1, 93.5, 79.4, 55.2, 47.2, 26.0; IR (KBr): 3064, 3028, 2918, 2846, 2177 ($\nu_{C\equiv C}$), 1601, 1508, 1456, 1161, 746, 694 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{22}NO^+$ 328.1696 found 328.1693.

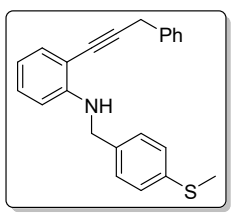


***N*-(4-phenoxybenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1v).** The reaction was performed following General Procedure A with 2-iodo-*N*-(4-phenoxybenzyl)aniline (3.21 g, 8 mmol),

$Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.3 g, 74% yield) as yellow solid. mp = 73–75 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.49 – 7.33 (m, 9H), 7.30 (t, J = 7.3 Hz, 1H), 7.25 – 7.15 (m, 2H), 7.13 – 7.02 (m, 4H), 6.73 (t, J = 7.5 Hz, 1H), 6.67 (d, J = 8.3 Hz, 1H), 5.15 (s, 1H), 4.41 (s, 2H), 3.95 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 157.3, 156.5, 148.8, 136.9, 134.0, 132.2, 129.8, 129.5, 128.72, 128.67, 127.9, 126.8, 123.3, 119.1, 119.0, 116.7, 109.9, 108.3, 93.7, 79.4, 47.3, 26.1; IR (KBr): 3082, 3032, 2922, 2846, 2177 ($\nu_{C\equiv C}$), 1599, 1506, 1452, 1245, 1167, 749, 692 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{28}H_{24}NO^+$ 390.1852 found 390.1856.

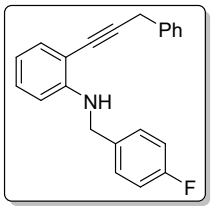


***N*,*N*-dimethyl-4-(((2-(3-phenylprop-1-yn-1-yl)phenyl)amino) methyl)aniline (1w).** The reaction was performed following General Procedure A with 4-(((2-iodophenyl)amino)methyl)-*N*,*N*-dimethylaniline (2.82 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 mL) at room temperature for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 2:1) to give the product (2.3 g, 85% yield) as yellow solid. mp = 95–97 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.51 – 7.46 (m, 3H), 7.43 – 7.33 (m, 5H), 7.29 (t, J = 8.1 Hz, 1H), 6.86 – 6.82 (m, 2H), 6.78 – 6.74 (m, 2H), 5.06 (s, 1H), 4.40 (s, 2H), 3.98 (s, 2H), 3.05 (s, 6H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 150.0, 149.1, 136.9, 132.1, 129.5, 128.6, 128.4, 127.9, 126.9, 126.6, 116.3, 112.9, 109.8, 108.1, 93.3, 79.6, 47.4, 40.7, 26.1; IR (KBr): 3060, 3030, 2983, 2949, 2856, 2800, 2178 ($\nu_{C\equiv C}$), 1612, 1500, 1453, 1280, 1187, 744, 692 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{24}H_{25}N_2^+$ 341.2012 found 341.2005.

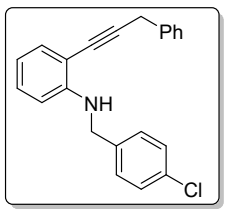


***N*-(4-(methylthio)benzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1x).** The reaction was performed following General Procedure A with 2-iodo-*N*-(4-(methylthio)benzyl)aniline (2.84 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.2 g, 80% yield) as yellow solid. mp = 81–83 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.49 – 7.42 (m, 3H), 7.41 – 7.27 (m, 7H), 7.22 (t, J = 7.9 Hz, 1H), 6.74 (t, J = 7.4 Hz, 1H), 6.64 (d, J = 8.4 Hz, 1H), 5.16 (s, 1H), 4.40 (s, 2H), 3.96 (s, 2H), 2.54 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 148.7, 137.2, 136.9, 136.1, 132.1, 129.5, 128.6, 127.9, 127.8, 127.0, 126.7, 116.7, 109.9, 108.3, 93.6, 79.4, 47.4, 26.1, 16.0; IR (KBr): 3066, 3028, 2968, 2875, 2918, 2854, 2177 ($\nu_{C\equiv C}$), 1599, 1511, 1462, 1150, 754, 699 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{22}H_{23}NS^+$ 344.1467 found 344.1478.

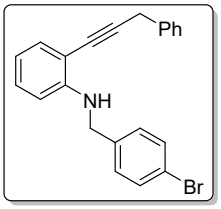


***N*-(4-fluorobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1y).** The reaction was performed following General Procedure A with *N*-(4-fluorobenzyl)-2-iodoaniline (2.62 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (1.9 g, 75% yield) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 – 7.48 (m, 3H), 7.46 – 7.36 (m, 5H), 7.28 (t, J = 7.8 Hz, 1H), 7.14 (t, J = 8.5 Hz, 2H), 6.80 (t, J = 7.5 Hz, 1H), 6.67 (d, J = 8.2 Hz, 1H), 5.18 (s, 1H), 4.45 (s, 2H), 4.01 (s, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 162.0 (d, $J^1_{C(Ar)\text{-}F}$ = 245.0 Hz), 148.6, 136.8, 134.8 (d, $J^4_{C(Ar)\text{-}F}$ = 3.0 Hz), 132.2, 129.5, 128.8 (d, $J^3_{C(Ar)\text{-}F}$ = 8.0 Hz), 128.6, 127.9, 126.8, 116.7, 115.5 (d, $J^2_{C(Ar)\text{-}F}$ = 21.4 Hz), 109.8, 108.2, 93.7, 79.3, 47.0, 26.1; IR (KBr): 3064, 3028, 2929, 2852, 2175 ($\nu_{C\equiv C}$), 1602, 1508, 1456, 1223, 1161, 747, 696 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{22}H_{19}FN^+$ 316.1496 found 316.1500.

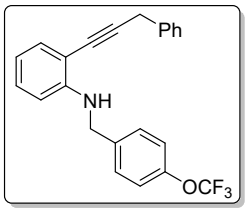


***N*-(4-chlorobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1z).** The reaction was performed following General Procedure A with *N*-(4-chlorobenzyl)-2-iodoaniline (2.74 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.1 g, 79% yield) as yellow oil. ^{1}H NMR

(400 MHz, $CDCl_3$): δ 7.54 – 7.47 (m, 3H), 7.45 – 7.33 (m, 7H), 7.28 – 7.23 (m, 1H), 6.81 – 6.76 (m, 1H), 6.62 (d, J = 8.3 Hz, 1H), 5.19 (s, 1H), 4.42 (s, 2H), 4.00 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.5, 137.7, 136.8, 132.8, 132.2, 129.5, 128.8, 128.6, 128.5, 127.9, 126.8, 116.8, 109.8, 108.3, 93.8, 79.3, 47.1, 26.1; IR (KBr): 3064, 3026, 2928, 2848, 2177 ($\nu_{C\equiv C}$), 1601, 1508, 1456, 1221, 1161, 747, 696 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{22}H_{19}ClN^+$ 332.1201 found 332.1198.

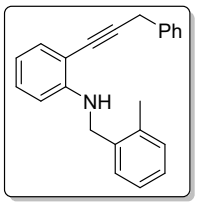


***N*-(4-bromobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1A).** The reaction was performed following General Procedure A with *N*-(4-bromobenzyl)-2-iodoaniline (3.10 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.3 g, 77% yield) as yellow solid. mp = 46–48 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.54 – 7.50 (m, 2H), 7.49 – 7.42 (m, 3H), 7.42 – 7.31 (m, 3H), 7.28 (d, J = 8.2 Hz, 2H), 7.23 – 7.19 (m, 1H), 6.74 (t, J = 7.4 Hz, 1H), 6.58 (d, J = 8.2 Hz, 1H), 5.14 (s, 1H), 4.40 (s, 2H), 3.97 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.5, 138.3, 136.9, 132.2, 131.8, 129.5, 128.9, 128.7, 127.9, 126.8, 121.0, 116.9, 109.9, 108.3, 93.8, 79.3, 47.2, 26.2; IR (KBr): 3060, 3027, 2927, 2856, 2222 ($\nu_{C\equiv C}$), 1560, 1504, 1452, 1153, 747, 693 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{22}H_{19}BrN^+$ 376.0695 found 376.0698.

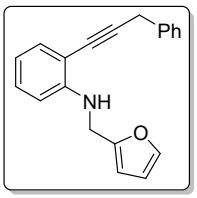


2-(3-phenylprop-1-yn-1-yl)-*N*-(4-(trifluoromethoxy)benzyl) aniline (1B). The reaction was performed following General Procedure A with 2-iodo-*N*-(4-(trifluoromethoxy)benzyl)aniline (3.14 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.2 g, 72% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.64 – 7.58 (m, 3H), 7.53 – 7.43 (m, 5H), 7.39 – 7.33 (m, 3H), 6.89 (t, J = 7.5 Hz, 1H), 6.72 (d, J = 8.3 Hz, 1H), 5.29 (s, 1H), 4.51 (s, 2H), 4.08 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.6, 148.3, 138.0, 136.8,

132.2, 129.5, 128.6, 128.5, 127.9, 126.8, 121.2, 120.6 (q, J = 255.6 Hz), 116.9, 109.8, 108.4, 93.9, 79.3, 46.9, 26.0; IR (KBr): 3060, 3028, 2918, 2848, 2177 ($\nu_{C\equiv C}$), 1602, 1508, 1456, 1261, 1162, 747, 696 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{23}H_{19}F_3NO^+$ 382.1413 found 382.1426.

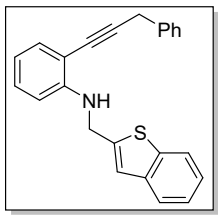


***N*-(2-methylbenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1C).** The reaction was performed following General Procedure A with 2-iodo-*N*-(2-methylbenzyl)aniline (2.58 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (1.9 g, 76% yield) as yellow solid. mp = 46–48 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.59 – 7.51 (m, 4H), 7.49 – 7.41 (m, 3H), 7.40 – 7.33 (m, 4H), 6.86 (t, J = 7.5 Hz, 1H), 6.77 (d, J = 8.3 Hz, 1H), 5.13 (s, 1H), 4.49 (s, 2H), 4.03 (s, 2H), 2.53 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.9, 136.8, 136.7, 136.1, 132.1, 130.4, 129.5, 128.6, 127.82, 127.78, 127.3, 126.6, 126.2, 116.5, 109.7, 108.1, 93.5, 79.4, 45.9, 26.0, 19.0; IR (KBr): 3064, 3028, 2918, 2846, 2177 ($\nu_{C\equiv C}$), 1601, 1508, 1456, 1161, 746, 694 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{23}H_{22}N^+$ 312.1747 found 312.1744.

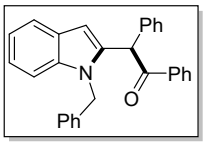


***N*-(furan-2-ylmethyl)-2-(3-phenylprop-1-yn-1-yl)aniline (1D).** The reaction was performed following General Procedure A with *N*-(furan-2-ylmethyl)-2-iodoaniline (2.39 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (1.9 g, 83% yield) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$): δ 7.51 (d, J = 7.0 Hz, 2H), 7.46 – 7.41 (m, 4H), 7.36 (t, J = 7.3 Hz, 1H), 7.28 (t, J = 7.5 Hz, 1H), 6.80 – 6.75 (m, 2H), 6.43 – 6.40 (m, 1H), 6.31 (d, J = 3.2 Hz, 1H), 5.12 (s, 1H), 4.46 (s, 2H), 3.99 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 152.6, 148.4, 142.0, 136.9, 132.2, 129.4, 128.7, 128.0, 126.7, 117.0, 110.4, 109.9, 108.5, 106.9, 93.6, 79.2, 41.1, 26.1; IR (KBr): 3060, 3030, 2928, 2854, 2174 ($\nu_{C\equiv C}$),

1601, 1508, 1456, 1147, 744, 702 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{20}H_{18}NO^+$ 288.1383 found 288.1387.

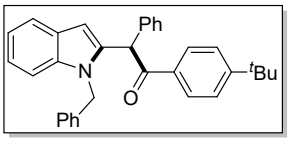


N-(benzo[*b*]thiophen-2-ylmethyl)-2-(3-phenylprop-1-yn-1-yl) aniline (1E). The reaction was performed following General Procedure A with *N*-(benzo[*b*]thiophen-2-ylmethyl)-2-iodoaniline (2.92 g, 8 mmol), $Pd(PPh_3)_2Cl_2$ (112 mg, 0.16 mmol), CuI (15 mg, 0.08 mmol), 3-phenyl-1-propyne (1.39 g, 12 mmol) dissolved in Et_3N (16 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 (2.1 g, 74% yield) as yellow solid. mp = 69–71°C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.80 (d, J = 7.7 Hz, 1H), 7.71 (d, J = 7.7 Hz, 1H), 7.43 (d, J = 7.5 Hz, 2H), 7.40 – 7.28 (m, 5H), 7.25 – 7.16 (m, 3H), 6.73 – 6.68 (m, 2H), 5.22 (s, 1H), 4.67 (s, 2H), 3.93 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 148.3, 144.0, 139.9, 139.7, 136.9, 132.3, 129.6, 128.7, 128.0, 126.8, 124.4, 124.1, 123.4, 122.5, 121.3, 117.3, 110.2, 108.7, 93.9, 79.2, 43.9, 26.2; IR (KBr): 3057, 3032, 2928, 2852, 2216 ($\nu_{C\equiv C}$), 1599, 1502, 1151, 739, 696 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{20}NS^+$ 354.1311 found 354.1310.



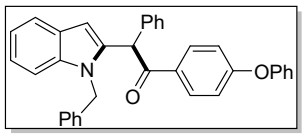
Characterization Data for Products

2-(1-benzyl-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3aa). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (37.3 mg, 93% yield) as white solid. mp = 164–165 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ 7.77 (d, J = 7.8 Hz, 2H), 7.55 – 7.50 (m, 2H), 7.39 – 7.28 (m, 7H), 7.26 – 7.22 (m, 4H), 7.08 (t, J = 7.6 Hz, 1H), 7.01 (t, J = 7.4 Hz, 1H), 6.97 – 6.92 (m, 2H), 6.40 (s, 1H), 6.24 (s, 1H), 5.58 (d, J = 17.0 Hz, 1H), 5.25 (d, J = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 195.7, 137.8, 137.5, 137.3, 136.9, 135.9, 133.3, 129.4, 128.6, 128.54, 128.48, 128.4, 127.3, 127.1, 126.4, 121.6, 120.2, 119.6, 110.1, 102.7, 50.9, 46.3, one resonance was not observed due to coincidental overlap; IR (KBr): 3061, 3021, 2938, 2877, 1689 ($\nu_{C=O}$), 1593, 1455, 1028, 827, 750, 689 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{29}H_{24}NO^+$ 402.1852 found 402.1862.

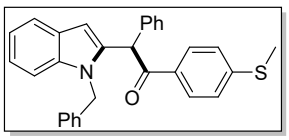


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-(*tert*-butyl)phenyl)-2-phenylethan-1-one (3ab). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-(*tert*-butyl)benzaldehyde **2b** (40.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (40.7 mg, 89% yield) as white solid. mp = 76–78 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 – 7.63 (m, 3H), 7.46 – 7.37 (m, 7H), 7.35 – 7.28 (m, 5H), 7.20 (t, J = 7.4 Hz, 1H), 7.16 – 7.12 (m, 2H), 6.48 (s, 1H), 6.02 (s, 1H), 5.44 (d, J = 17.1 Hz, 1H), 5.12 (d, J = 17.1 Hz, 1H), 1.38 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.4, 157.0, 138.1, 137.9, 137.0, 136.9, 133.7, 129.5, 129.1, 128.9, 128.8, 127.8, 127.7, 126.6, 125.5, 122.1, 120.8, 119.9, 109.3,

104.0, 51.8, 46.9, 35.1, 31.1, one resonance was not observed due to coincidental overlap; IR (KBr): 3060, 3030, 2962, 2929, 2868, 1685 ($\nu_{C=O}$), 1603, 1454, 1353, 1030, 843, 735, 695 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{33}H_{32}NO^+$ 458.2478 found 458.2482.

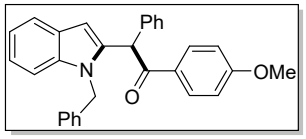


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-phenoxyphenyl)-2-phenylethan-1-one (3ac). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-phenoxybenzaldehyde **2c** (49.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (41.9 mg, 85% yield) as white solid. mp = 73–75 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 – 7.63 (m, 3H), 7.51 – 7.41 (m, 5H), 7.40 – 7.36 (m, 4H), 7.35 – 7.27 (m, 4H), 7.22 (t, *J* = 7.4 Hz, 1H), 7.17 – 7.09 (m, 4H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.51 (s, 1H), 5.99 (s, 1H), 5.44 (d, *J* = 17.0 Hz, 1H), 5.11 (d, *J* = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.4, 162.0, 155.4, 138.1, 137.9, 136.9, 136.8, 131.2, 130.8, 130.1, 129.4, 129.1, 128.8, 127.9, 127.70, 127.65, 126.6, 124.7, 122.1, 120.8, 120.2, 120.0, 117.2, 109.3, 104.0, 51.7, 46.9; IR (KBr): 3057, 3028, 2924, 2852, 1684 ($\nu_{C=O}$), 1584, 1454, 1020, 841, 731, 694 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{35}H_{28}NO_2^+$ 494.2115 found 494.2118.

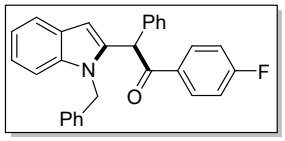


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-(methylthio)phenyl)-2-phenylethan-1-one (3ad). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-(methylthio)benzaldehyde **2d** (38.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (38.5 mg, 86% yield) as white solid. mp = 61–63 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.8 Hz, 1H), 7.57 (d, *J* = 8.6 Hz, 2H), 7.45 – 7.37 (m, 7H), 7.32 – 7.27 (m, 3H), 7.20 (t, *J* = 7.4 Hz, 1H), 7.15 – 7.09 (m, 4H), 6.45 (s, 1H), 5.95 (s, 1H), 5.43 (d, *J* = 17.1 Hz, 1H), 5.10 (d, *J* = 17.2 Hz, 1H), 2.52 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.8, 146.2, 138.1,

138.0, 136.9, 136.8, 132.5, 129.4, 129.23, 129.15, 128.8, 127.9, 127.7, 127.6, 126.6, 124.9, 122.1, 120.9, 120.0, 109.3, 104.0, 51.7, 46.9, 14.8; IR (KBr): 3059, 3026, 2922, 2854, 1679 ($\nu_{C=O}$), 1586, 1453, 1344, 1030, 831, 728, 705 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{30}H_{26}NOS^+$ 448.1730 found 448.1727.

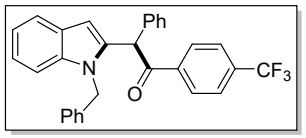


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-methoxyphenyl)-2-phenylethan-1-one (3ae). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-methoxybenzaldehyde **2e** (34.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (37.5 mg, 87% yield) as white solid. mp = 69–71 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.45 – 7.37 (m, 3H), 7.45 – 7.37 (m, 7H), 7.33 – 7.28 (m, 3H), 7.20 (t, *J* = 7.4 Hz, 1H), 7.16 – 7.12 (m, 2H), 6.79 (d, *J* = 8.9 Hz, 2H), 6.47 (s, 1H), 5.97 (s, 1H), 5.43 (d, *J* = 17.0 Hz, 1H), 5.11 (d, *J* = 17.1 Hz, 1H), 3.87 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.4, 163.6, 138.1, 138.0, 137.2, 137.0, 131.2, 129.4, 129.3, 129.1, 128.8, 127.8, 127.69, 127.65, 126.6, 122.1, 120.8, 119.9, 113.8, 109.3, 104.0, 55.5, 51.6, 46.9; IR (KBr): 3059, 3028, 2933, 2839, 1679 ($\nu_{C=O}$), 1598, 1454, 1344, 1029, 834, 732, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{30}H_{26}NO_2^+$ 432.1958 found 432.1959.

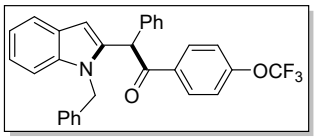


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-fluorophenyl)-2-phenylethan-1-one (3af). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-fluorobenzaldehyde **2f** (31.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (39.0 mg, 93% yield) as white solid. mp = 141–142 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 – 7.59 (m, 3H), 7.43 – 7.34 (m, 7H), 7.30 – 7.27 (m, 3H), 7.19 (t, *J* = 7.4 Hz, 1H), 7.15 – 7.11 (m, 2H), 6.94 (t, *J* = 8.6 Hz, 2H), 6.43 (s, 1H), 5.93 (s, 1H), 5.44 (d, *J* = 17.0 Hz, 1H), 5.06 (d, *J* = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.3, 165.7 (d, $J^1_{C(Ar)-F}$ = 255.4

Hz), 138.2, 138.0, 136.5, 136.4, 132.7 (d, $J^4_{C(Ar)-F}$ = 2.9 Hz), 131.5 (d, $J^3_{C(Ar)-F}$ = 9.3 Hz), 129.4, 129.2, 128.9, 128.0, 127.9, 127.6, 126.7, 122.3, 120.9, 120.1, 115.7 (d, $J^2_{C(Ar)-F}$ = 21.9 Hz), 109.3, 104.1, 51.9, 46.9; IR (KBr): 3062, 3028, 2933, 2852, 1686 ($\nu_{C=O}$), 1595, 1453, 1008, 839, 730, 697 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{29}H_{23}FNO^+$ 420.1758 found 420.1757.

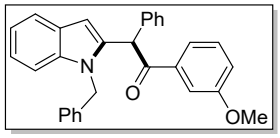


2-(1-benzyl-1*H*-indol-2-yl)-2-phenyl-1-(4-(trifluoromethyl)phenyl)ethan-1-one (3ag). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-(trifluoromethyl) benzaldehyde **2g** (43.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.1 mg, 77% yield) as white solid. mp = 55–57 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 – 7.64 (m, 3H), 7.53 (d, *J* = 8.2 Hz, 2H), 7.46 – 7.36 (m, 7H), 7.33 – 7.27 (m, 3H), 7.20 (t, *J* = 7.4 Hz, 1H), 7.18 – 7.11 (m, 2H), 6.44 (s, 1H), 5.98 (s, 1H), 5.46 (d, *J* = 16.9 Hz, 1H), 5.06 (d, *J* = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.8, 139.0, 138.2, 137.9, 136.1, 135.9, 134.3 (q, $J^2_{C(Ar)-F}$ = 32.7 Hz), 129.4, 129.3, 129.1, 129.0, 128.1, 128.0, 127.5, 126.7, 125.6 (q, $J^3_{C(Ar)-F}$ = 3.8 Hz), 123.6 (q, J^1_{C-F} = 272.7 Hz), 122.5, 121.0, 120.2, 109.3, 104.2, 52.2, 46.9; IR (KBr): 3060, 3030, 2929, 2852, 1697 ($\nu_{C=O}$), 1603, 1454, 1067, 828, 735, 697 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{23}F_3NO^+$ 470.1726 found 470.1729.

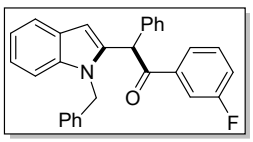


2-(1-benzyl-1*H*-indol-2-yl)-2-phenyl-1-(4-(trifluoromethoxy)phenyl)ethan-1-one (3ah). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-(trifluoromethoxy)benzaldehyde **2h** (47.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (39.8 mg, 82% yield) as white solid. mp = 51–53 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 – 7.61 (m, 3H), 7.45 – 7.34 (m, 7H), 7.32 – 7.27 (m, 3H), 7.19 (t, *J* =

7.4 Hz, 1H), 7.17 – 7.10 (m, 2H), 7.09 (d, J = 8.4 Hz, 2H), 6.45 (s, 1H), 5.94 (s, 1H), 5.45 (d, J = 17.0 Hz, 1H), 5.05 (d, J = 17.0 Hz, 1H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 194.3, 152.6, 138.2, 137.9, 136.4, 136.2, 134.5, 130.9, 129.4, 129.3, 128.9, 128.04, 127.95, 127.6, 126.7, 122.4, 120.9, 120.4 (q, $J^1_{C\text{-}F}$ = 258.9 Hz), 120.3, 120.1, 109.3, 104.1, 52.0, 46.9; IR (KBr): 3062, 3030, 2927, 2854, 1691 ($\nu_{C=O}$), 1600, 1454, 1067, 828, 735, 697 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{23}F_3NO_2^+$ 486.1675 found 486.1673.

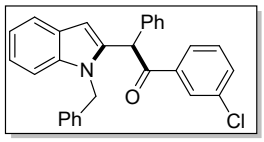


2-(1-benzyl-1*H*-indol-2-yl)-1-(3-methoxyphenyl)-2-phenylethan-1-one (3ai). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 3-methoxybenzaldehyde **2i** (34.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (34.1 mg, 79% yield) as white solid. mp = 98–100 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.67 (d, J = 7.8 Hz, 1H), 7.47 (s, 1H), 7.46 – 7.36 (m, 7H), 7.34 – 7.27 (m, 3H), 7.24 – 7.13 (m, 2H), 7.15 – 7.05 (m, 4H), 6.47 (s, 1H), 6.01 (s, 1H), 5.41 (d, J = 17.1 Hz, 1H), 5.12 (d, J = 17.1 Hz, 1H), 3.83 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.8, 159.9, 138.1, 137.8, 137.7, 136.9, 136.8, 129.5, 129.4, 129.2, 128.9, 127.82, 127.77, 127.7, 126.5, 122.2, 121.4, 120.9, 120.0, 119.8, 113.1, 109.4, 104.0, 55.5, 52.0, 46.9; IR (KBr): 3059, 3024, 2941, 2835, 1683 ($\nu_{C=O}$), 1596, 1454, 1338, 1028, 777, 726, 697 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{26}NO_2^+$ 432.1958 found 432.1966.

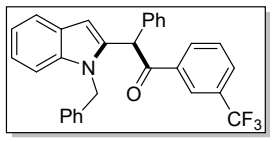


2-(1-benzyl-1*H*-indol-2-yl)-1-(3-fluorophenyl)-2-phenylethan-1-one (3aj). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 3-fluorobenzaldehyde **2j** (31.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (31.4 mg, 75% yield) as white solid. mp = 156–158 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.68 (d, J = 7.8 Hz, 1H), 7.46 – 7.41 (m,

6H), 7.42 – 7.33 (m, 3H), 7.33 – 7.27 (m, 3H), 7.25 – 7.18 (m, 3H), 7.17 – 7.11 (m, 2H), 6.46 (s, 1H), 5.95 (s, 1H), 5.45 (d, J = 17.0 Hz, 1H), 5.07 (d, J = 17.0 Hz, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 194.7 (d, $J^4_{C(Ar)-F}$ = 2.1 Hz), 162.8 (d, $J^1_{C(Ar)-F}$ = 248.2 Hz), 138.4 (d, $J^3_{C(Ar)-F}$ = 6.2 Hz), 138.2, 137.8, 136.3, 136.2, 130.2 (d, $J^3_{C(Ar)-F}$ = 7.5 Hz), 129.4, 129.3, 128.9, 128.0 (d, $J^2_{C(Ar)-F}$ = 11.7 Hz), 127.6, 126.5, 124.5 (d, $J^4_{C(Ar)-F}$ = 3.3 Hz), 122.3, 120.9, 120.3, 120.12, 120.08, 115.5 (d, $J^2_{C(Ar)-F}$ = 22.4 Hz), 109.3, 104.1, 52.1, 46.9; IR (KBr): 3057, 3026, 2945, 2891, 1686 ($\nu_{C=O}$), 1596, 1454, 1032, 796, 777, 734, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{29}H_{23}FNO^+$ 420.1758 found 420.1762.

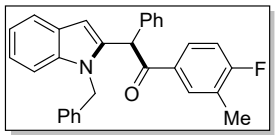


2-(1-benzyl-1*H*-indol-2-yl)-1-(3-chlorophenyl)-2-phenylethan-1-one (3ak). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 3-chlorobenzaldehyde **2k** (35.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (30.5 mg, 70% yield) as white solid. mp = 149–151 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.76 (t, J = 1.9 Hz, 1H), 7.67 (d, J = 7.8 Hz, 1H), 7.50 – 7.40 (m, 6H), 7.42 – 7.33 (m, 3H), 7.32 – 7.27 (m, 3H), 7.23 – 7.17 (m, 2H), 7.15 – 7.09 (m, 2H), 6.45 (s, 1H), 5.93 (s, 1H), 5.42 (d, J = 17.1 Hz, 1H), 5.05 (d, J = 17.1 Hz, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 194.7, 138.2, 137.9, 137.7, 136.3, 136.1, 135.0, 133.2, 129.9, 129.4, 129.3, 128.9, 128.7, 128.0, 127.9, 127.6, 126.9, 126.4, 122.3, 120.9, 120.1, 109.3, 104.1, 52.0, 46.9; IR (KBr): 3062, 3024, 2941, 2885, 1685 ($\nu_{C=O}$), 1570, 1454, 1029, 779, 718, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{29}H_{23}ClNO^+$ 436.1463 found 436.1455.

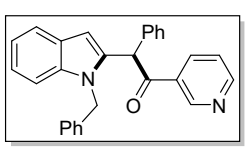


2-(1-benzyl-1*H*-indol-2-yl)-2-phenyl-1-(3-(trifluoromethyl)phenyl)ethan-1-one (3al). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 3-(trifluoromethyl) benzaldehyde **2l** (43.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash

chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (32.4 mg, 69% yield) as white solid. mp = 138–140 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.14 (s, 1H), 7.76 (d, J = 7.8 Hz, 1H), 7.69 – 7.61 (m, 2H), 7.46 – 7.38 (m, 5H), 7.41 – 7.33 (m, 3H), 7.32 – 7.27 (m, 3H), 7.20 (t, J = 7.4 Hz, 1H), 7.15 – 7.08 (m, 2H), 6.44 (s, 1H), 5.97 (s, 1H), 5.41 (d, J = 17.1 Hz, 1H), 5.07 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.7, 138.3, 137.7, 136.9, 136.2, 136.0, 131.9, 131.4 (q, $J^2_{C(Ar)\text{-}F}$ = 33.0 Hz), 129.6 (q, $J^3_{C(Ar)\text{-}F}$ = 3.6 Hz), 129.4, 129.3, 129.0, 128.0, 127.6, 126.4, 125.6 (q, $J^3_{C(Ar)\text{-}F}$ = 3.8 Hz), 123.65 (q, $J^1_{C\text{-}F}$ = 272.7 Hz), 122.4, 121.0, 120.1, 109.4, 104.3, 52.1, 47.0, two resonances were not observed due to coincidental overlap; IR (KBr): 3059, 3032, 2939, 2885, 1689 ($\nu_{C=O}$), 1610, 1454, 1030, 783, 726, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{23}F_3NO^+$ 470.1726 found 470.1733.

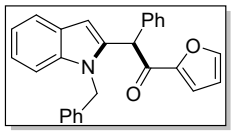


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-fluoro-3-methylphenyl) -2-phenylethan-1-one (3am). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-fluoro-3-methylbenzaldehyde **2m** (34.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (32.1 mg, 74% yield) as white solid. mp = 155–157 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 (d, J = 7.8 Hz, 1H), 7.62 (d, J = 7.0 Hz, 1H), 7.47 – 7.41 (m, 5H), 7.41 – 7.35 (m, 3H), 7.33 – 7.27 (m, 3H), 7.20 (t, J = 7.4 Hz, 1H), 7.16 – 7.12 (m, 2H), 6.90 (t, J = 8.8 Hz, 1H), 6.47 (s, 1H), 5.97 (s, 1H), 5.41 (d, J = 17.1 Hz, 1H), 5.07 (d, J = 17.1 Hz, 1H), 2.23 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.6, 164.4 (d, $J^1_{C(Ar)\text{-}F}$ = 254.1 Hz), 138.1, 138.0, 136.7, 136.6, 132.6 (d, $J^3_{C(Ar)\text{-}F}$ = 6.6 Hz), 132.5 (d, $J^4_{C(Ar)\text{-}F}$ = 3.4 Hz), 129.4, 129.2, 128.9, 128.8, 127.9, 127.8, 127.6, 126.5, 125.4 (d, $J^2_{C(Ar)\text{-}F}$ = 17.9 Hz), 122.2, 120.9, 120.0, 115.2 (d, $J^2_{C(Ar)\text{-}F}$ = 23.0 Hz), 109.3, 104.0, 51.7, 46.8, 14.6 (d, $J^4_{C(Ar)\text{-}F}$ = 3.4 Hz) ; IR (KBr): 3059, 3030, 2924, 2887, 1676 ($\nu_{C=O}$), 1587, 1453, 1344, 1027, 808, 734, 706 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{25}FNO^+$ 434.1915 found 434.1922.

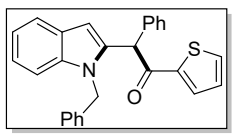


2-(1-benzyl-1*H*-indol-2-yl)-2-phenyl-1-(pyridin-3-yl) ethan-1-one (3an). The reaction was performed following General

Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), nicotinaldehyde **2n** (26.8 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (26.5 mg, 66% yield) as white solid. mp = 145–147 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.89 (s, 1H), 8.70 (d, J = 3.7 Hz, 1H), 7.84 (d, J = 8.0 Hz, 1H), 7.66 (d, J = 7.8 Hz, 1H), 7.45 – 7.39 (m, 4H), 7.42 – 7.33 (m, 3H), 7.32 – 7.27 (m, 3H), 7.25 – 7.17 (m, 2H), 7.13 – 7.08 (m, 2H), 6.44 (s, 1H), 5.94 (s, 1H), 5.43 (d, J = 17.1 Hz, 1H), 5.08 (d, J = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 194.7, 153.2, 149.9, 138.2, 137.6, 136.1, 135.81, 135.75, 131.6, 129.4, 129.2, 128.9, 128.02, 127.98, 127.4, 126.4, 123.5, 122.4, 120.9, 120.1, 109.3, 104.2, 52.3, 46.9; IR (KBr): 3060, 3030, 2939, 2881, 1697 ($\nu_{C=O}$), 1581, 1452, 997, 721, 700 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{28}H_{23}N_2O^+$ 403.1805 found 403.1803.

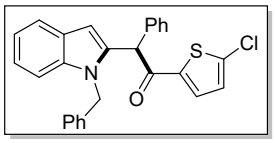


2-(1-benzyl-1*H*-indol-2-yl)-1-(furan-2-yl)-2-phenylethan-1-one (3ao). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), furan-2-carbaldehyde **2o** (24.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (26.6 mg, 68% yield) as white solid. mp = 153–155 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.63 (d, J = 7.7 Hz, 1H), 7.41 (s, 1H), 7.35 – 7.31 (m, 5H), 7.30 – 7.27 (m, 4H), 7.19 (t, J = 7.5 Hz, 1H), 7.14 (t, J = 7.4 Hz, 1H), 7.04 – 7.01 (m, 2H), 6.86 (d, J = 3.6 Hz, 1H), 6.54 (s, 1H), 6.41 (d, J = 3.6 Hz, 1H), 5.83 (s, 1H), 5.35 (d, J = 17.2 Hz, 1H), 5.12 (d, J = 17.2 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 184.5, 152.1, 146.8, 138.0, 137.8, 136.5, 136.2, 129.4, 129.0, 128.8, 127.8, 127.7, 127.6, 126.4, 122.1, 120.9, 120.0, 118.4, 112.5, 109.4, 103.5, 51.7, 46.9; IR (KBr): 3057, 3030, 2920, 2858, 1670 ($\nu_{C=O}$), 1541, 1461, 1046, 831, 727, 705 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{27}H_{22}NO_2^+$ 392.1645 found 392.1653.

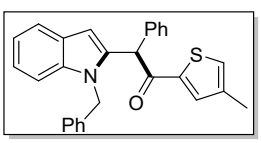


2-(1-benzyl-1*H*-indol-2-yl)-2-phenyl-1-(thiophen-2-yl) ethan-1-one (3ap). The reaction was performed following General

Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), thiophene-2-carbaldehyde **2p** (28.0 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (33.0 mg, 81% yield) as white solid. mp = 157–159 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (d, J = 7.8 Hz, 1H), 7.59 (d, J = 4.9 Hz, 1H), 7.44 – 7.39 (m, 6H), 7.38 – 7.33 (m, 3H), 7.29 (d, J = 6.0 Hz, 1H), 7.21 (t, J = 7.4 Hz, 1H), 7.13 – 7.08 (m, 3H), 6.95 (t, J = 4.4 Hz, 1H), 6.61 (s, 1H), 5.88 (s, 1H), 5.43 (d, J = 17.2 Hz, 1H), 5.13 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 188.7, 143.7, 138.1, 137.9, 136.7, 136.5, 134.5, 132.7, 129.3, 129.1, 128.9, 128.1, 127.84, 127.79, 127.6, 126.4, 122.1, 120.9, 120.0, 109.3, 103.8, 53.0, 46.9; IR (KBr): 3057, 3028, 2949, 2858, 1654 ($\nu_{C=O}$), 1541, 1453, 1032, 822, 749, 702 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{27}H_{22}NOS^+$ 408.1417 found 408.1426.

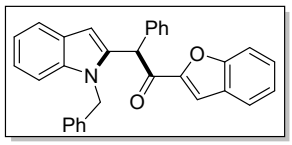


2-(1-benzyl-1*H*-indol-2-yl)-1-(5-chlorothiophen-2-yl)-2-phenylethan-1-one (3aq). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 5-chlorothiophene-2-carbaldehyde **2q** (36.3 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 60 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (27.8 mg, 63% yield) as white solid. mp = 172–173 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ 7.56 – 7.51 (m, 2H), 7.38 – 7.24 (m,, 6H), 7.21 – 7.17 (m, 3H), 7.15 (d, J = 4.1 Hz, 1H), 7.08 (t, J = 7.5 Hz, 1H), 7.02 (t, J = 7.4 Hz, 1H), 6.91 – 6.85 (m, 2H), 6.33 (s, 1H), 6.22 (s, 1H), 5.54 (d, J = 17.1 Hz, 1H), 5.31 (d, J = 17.2 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 187.8, 141.6, 138.2, 137.5, 137.2, 136.9, 136.3, 133.6, 128.8, 128.6, 128.3, 128.2, 127.3, 126.9, 126.9, 126.1, 121.4, 120.0, 119.4, 110.0, 102.5, 50.6, 46.2; IR (KBr): 3059, 3028, 2937, 2867, 1667 ($\nu_{C=O}$), 1539, 1453, 1028, 856, 755, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{27}H_{21}ClNOS^+$ 442.1027 found 442.1034.

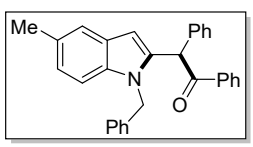


2-(1-benzyl-1*H*-indol-2-yl)-1-(4-methylthiophen-2-yl)-2-phenylethan-1-one (3ar). The reaction was performed

following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), 4-methylthiophene-2-carbaldehyde **2r** (31.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (23.2 mg, 55% yield) as white solid. mp = 68–70 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ 7.57 – 7.53 (m, 2H), 7.40 – 7.35 (m, 3H), 7.34 – 7.30 (m, 2H), 7.28 – 7.22 (m, 5H), 7.09 (t, J = 7.6 Hz, 1H), 7.03 (t, J = 7.4 Hz, 1H), 6.98 – 6.94 (m, 2H), 6.38 (s, 1H), 6.20 (s, 1H), 5.58 (d, J = 17.0 Hz, 1H), 5.22 (d, J = 17.0 Hz, 1H), 2.10 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 188.2, 142.4, 138.5, 137.7, 137.2, 137.1, 136.6, 134.9, 131.2, 128.8, 128.3, 128.2, 127.1, 127.0, 126.9, 126.1, 121.4, 120.0, 119.3, 109.8, 102.3, 51.2, 46.2, 14.9; IR (KBr): 3059, 3028, 2925, 2856, 1664 ($\nu_{C=O}$), 1539, 1453, 1032, 848, 746, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{28}H_{24}NOS^+$ 422.1573 found 422.1566.

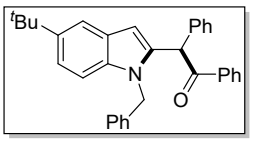


1-(benzofuran-2-yl)-2-(1-benzyl-1*H*-indol-2-yl)-2-phenylethan-1-one (3as). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)aniline **1a** (29.7 mg, 0.1 mmol), benzofuran-2-carbaldehyde **2s** (36.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 60 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (30.0 mg, 68% yield) as white solid. mp = 75–77 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 – 7.57 (m, 2H), 7.50 – 7.44 (m, 2H), 7.43 – 7.38 (m, 4H), 7.37 – 7.27 (m, 6H), 7.22 (t, J = 7.5 Hz, 1H), 7.17 – 7.10 (m, 3H), 7.06 (s, 1H), 6.58 (s, 1H), 6.02 (s, 1H), 5.42 (d, J = 17.2 Hz, 1H), 5.16 (d, J = 17.2 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 186.5, 155.9, 152.3, 138.3, 138.1, 136.3, 136.2, 129.5, 129.1, 128.9, 128.4, 127.94, 127.88, 127.7, 127.3, 126.6, 124.1, 123.4, 122.3, 121.0, 120.1, 114.0, 112.6, 109.5, 103.8, 52.3, 47.1; IR (KBr): 3059, 3028, 2927, 2869, 1694 ($\nu_{C=O}$), 1552, 1453, 1028, 827, 749, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{31}H_{24}NO_2^+$ 442.1802 found 442.1810.

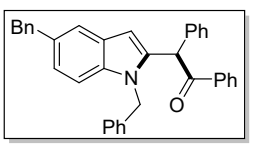


2-(1-benzyl-5-methyl-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ba). The reaction was performed following General

Procedure B with *N*-benzyl-4-methyl-2-(3-phenylprop-1-yn-1-yl)aniline **1b** (31.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.1 mg, 87% yield) as white solid. mp = 120–121 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ 7.76 (d, J = 7.7 Hz, 2H), 7.53 (t, J = 7.4 Hz, 1H), 7.38 – 7.28 (m, 7H), 7.27 – 7.21 (m, 5H), 6.96 – 6.89 (m, 3H), 6.37 (s, 1H), 6.15 (s, 1H), 5.54 (d, J = 17.0 Hz, 1H), 5.21 (d, J = 17.0 Hz, 1H), 2.33 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 195.7, 137.9, 137.3, 136.9, 135.9, 135.8, 133.2, 129.4, 128.6, 128.50, 128.45, 128.4, 128.1, 127.3, 127.2, 126.4, 123.1, 119.8, 109.8, 102.1, 50.7, 46.3, 21.0, one resonance was not observed due to coincidental overlap; IR (KBr):3062, 3031, 2960, 2939, 2875, 1689 ($\nu_{C=O}$), 1593, 1449, 1348, 1008, 791, 734, 693 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{30}H_{26}NO^+$ 416.2009 found 416.2002.

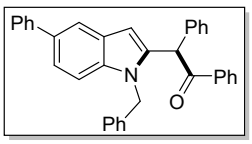


2-(1-benzyl-5-(*tert*-butyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ca). The reaction was performed following General Procedure B with *N*-benzyl-4-(*tert*-butyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1c** (35.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (37.0 mg, 81% yield) as white solid. mp = 207–208 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 – 7.62 (m, 3H), 7.48 (t, J = 7.4 Hz, 1H), 7.43 – 7.39 (m, 4H), 7.39 – 7.33 (m, 4H), 7.32 – 7.28 (m, 4H), 7.18 – 7.14 (m, 2H), 6.42 (s, 1H), 5.99 (s, 1H), 5.41 (d, J = 17.0 Hz, 1H), 5.04 (d, J = 17.0 Hz, 1H), 1.45 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.8, 142.9, 138.1, 136.8, 136.6, 136.40, 136.37, 133.1, 129.5, 129.1, 128.84, 128.78, 128.6, 127.9, 127.7, 127.4, 126.7, 120.5, 116.7, 108.9, 104.0, 51.9, 47.0, 34.7, 32.0; IR (KBr): 3059, 3022, 2958, 2936, 2864, 1688 ($\nu_{C=O}$), 1595, 1448, 1351, 1003, 803, 752, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{33}H_{32}NO^+$ 458.2478 found 458.2472.

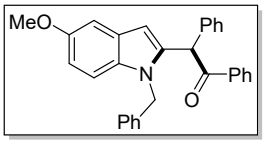


2-(1,5-dibenzyl-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3da). The reaction was performed following General Procedure

B with *N*,4-dibenzyl-2-(3-phenylprop-1-yn-1-yl) aniline **1d** (38.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (38.3 mg, 78% yield) as white solid. mp = 62–64 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 (d, J = 7.8 Hz, 2H), 7.50 (t, J = 7.4 Hz, 1H), 7.47 (s, 1H), 7.44 – 7.36 (m, 7H), 7.35 – 7.28 (m, 9H), 7.16 – 7.11 (m, 3H), 6.39 (s, 1H), 6.00 (s, 1H), 5.40 (d, J = 17.0 Hz, 1H), 5.07 (d, J = 17.0 Hz, 1H), 4.15 (s, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.8, 142.2, 138.0, 136.9, 136.8, 136.3, 133.1, 132.8, 129.5, 129.1, 129.0, 128.8, 128.6, 128.5, 127.9, 127.7, 126.6, 126.0, 123.5, 120.7, 109.3, 103.8, 51.9, 47.0, 42.1, three resonances were not observed due to coincidental overlap; IR (KBr): 3059, 3025, 2918, 2850, 1689 ($\nu_{C=O}$), 1597, 1450, 1030, 831, 732, 698 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{36}H_{30}NO^+$ 492.2322 found 492.2316.

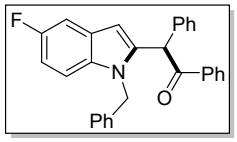


2-(1-benzyl-5-phenyl-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ea). The reaction was performed following General Procedure B with *N*-benzyl-3-(3-phenylprop-1-yn-1-yl)-[1,1'-biphenyl]-4-amine **1e** (37.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (39.1 mg, 82% yield) as white solid. mp = 84–86 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.86 (s, 1H), 7.72 – 7.64 (m, 4H), 7.54 – 7.47 (m, 4H), 7.44 – 7.36 (m, 8H), 7.34 – 7.28 (m, 4H), 7.17 – 7.13 (m, 2H), 6.52 (s, 1H), 6.02 (s, 1H), 5.43 (d, J = 17.0 Hz, 1H), 5.10 (d, J = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.8, 142.5, 137.8, 137.7, 137.5, 136.7, 136.3, 133.6, 133.2, 129.5, 129.2, 128.9, 128.8, 128.7, 128.6, 128.1, 128.0, 127.8, 127.4, 126.6, 126.4, 122.1, 119.4, 109.6, 104.4, 51.9, 47.1; IR (KBr): 3060, 3031, 2921, 2851, 1689 ($\nu_{C=O}$), 1450, 1596, 1066, 841, 735, 696 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{35}H_{28}NO^+$ 478.2165 found 478.2160.

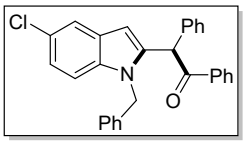


2-(1-benzyl-5-methoxy-1H-indol-2-yl)-1,2-diphenylethan-1-one (3fa). The reaction was performed following General

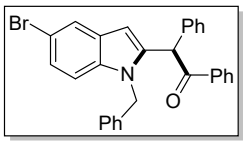
Procedure B with *N*-benzyl-4-methoxy-2-(3-phenylprop-1-yn-1-yl)aniline **1f** (32.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.7 mg, 85% yield) as white solid. mp = 158–160 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 (d, J = 7.3 Hz, 2H), 7.50 (t, J = 7.4 Hz, 1H), 7.44 – 7.35 (m, 6H), 7.33 – 7.28 (m, 5H), 7.14 – 7.11 (m, 3H), 6.95 (dd, J = 8.9, 2.5 Hz, 1H), 6.40 (s, 1H), 6.00 (s, 1H), 5.37 (d, J = 17.0 Hz, 1H), 5.08 (d, J = 17.1 Hz, 1H), 3.90 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.8, 154.4, 137.9, 137.2, 136.8, 136.3, 133.3, 133.1, 129.4, 129.1, 128.8, 128.6, 127.9, 127.8, 127.7, 126.5, 112.3, 110.1, 103.6, 102.5, 55.9, 51.9, 47.0, one resonance was not observed due to coincidental overlap; IR (KBr): 3059, 3032, 2958, 2939, 2833, 1692 ($\nu_{C=O}$), 1595, 1451, 1352, 1028, 841, 750, 700 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{30}H_{26}NO_2^+$ 432.1958 found 432.1965.



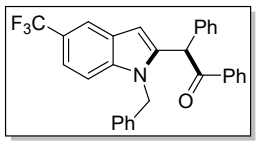
2-(1-benzyl-5-fluoro-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ga). The reaction was performed following General Procedure B with *N*-benzyl-4-fluoro-2-(3-phenylprop-1-yn-1-yl) aniline **1g** (31.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (37.3 mg, 89% yield) as white solid. mp = 60–62 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, J = 7.7 Hz, 2H), 7.53 (t, J = 7.4 Hz, 1H), 7.44 – 7.38 (m, 6H), 7.37 – 7.30 (m, 5H), 7.29 – 7.27 (m, 1H), 7.12 – 7.07 (m, 2H), 7.04 – 6.98 (m, 1H), 6.42 (s, 1H), 6.01 (s, 1H), 5.38 (d, J = 17.1 Hz, 1H), 5.13 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.7, 158.1 (d, $J^1_{C(Ar)-F}$ = 234.6 Hz), 138.6, 137.6, 136.5, 136.2, 134.7, 133.3, 129.4, 129.2, 128.9, 128.8, 128.7, 128.0, 127.9, 127.8, 126.5, 110.4 (d, $J^2_{C(Ar)-F}$ = 26.2 Hz), 110.0 (d, $J^3_{C(Ar)-F}$ = 9.7 Hz), 105.7 (d, $J^2_{C(Ar)-F}$ = 23.4 Hz), 104.0 (d, $J^4_{C(Ar)-F}$ = 4.6 Hz), 51.9, 47.2; IR (KBr): 3066, 3028, 2924, 2851, 1692 ($\nu_{C=O}$), 1597, 1449, 1032, 831, 744, 697 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{29}H_{23}FNO^+$ 420.1758 found 420.1759.



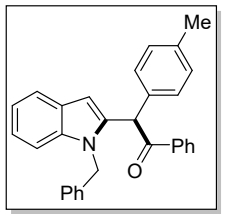
2-(1-benzyl-5-chloro-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ha). The reaction was performed following General Procedure B with *N*-benzyl-4-chloro-2-(3-phenylprop-1-yn-1-yl) aniline **1h** (33.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (38.3 mg, 88% yield) as white solid. mp = 69–71 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 (d, J = 7.6 Hz, 2H), 7.61 (d, J = 2.0 Hz, 1H), 7.52 (t, J = 7.4 Hz, 1H), 7.46 – 7.35 (m, 6H), 7.38 – 7.27 (m, 5H), 7.23 – 7.18 (m, 1H), 7.11 – 7.05 (m, 2H), 6.40 (s, 1H), 6.01 (s, 1H), 5.36 (d, J = 17.0 Hz, 1H), 5.11 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.6, 138.4, 137.4, 136.5, 136.4, 136.1, 133.3, 129.4, 129.2, 128.9, 128.8, 128.64, 128.55, 128.0, 127.9, 126.4, 125.6, 122.4, 120.2, 110.4, 103.6, 51.8, 47.1; IR (KBr):3060, 3024, 2929, 2851, 1688 ($\nu_{C=O}$), 1595, 1449, 1032, 833, 756, 693 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{29}H_{23}ClNO^+$ 436.1463 found 436.1463.



2-(1-benzyl-5-bromo-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ia). The reaction was performed following General Procedure B with *N*-benzyl-4-bromo-2-(3-phenylprop-1-yn-1-yl) aniline **1i** (37.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (40.2 mg, 84% yield) as white solid. mp = 68–70 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (s, 1H), 7.63 (d, J = 7.8 Hz, 2H), 7.48 (t, J = 7.4 Hz, 1H), 7.41 – 7.34 (m, 6H), 7.33 – 7.27 (m, 5H), 7.19 (d, J = 8.7 Hz, 1H), 7.06 – 7.01 (m, 2H), 6.36 (s, 1H), 5.96 (s, 1H), 5.32 (d, J = 17.1 Hz, 1H), 5.07 (d, J = 17.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.6, 138.3, 137.3, 136.8, 136.3, 136.1, 133.3, 129.4, 129.2, 128.9, 128.8, 128.6, 128.0, 127.9, 126.4, 124.9, 123.3, 113.1, 110.8, 103.5, 51.8, 47.1, one resonance was not observed due to coincidental overlap; IR (KBr): 3062, 3026, 2932, 2850, 1689 ($\nu_{C=O}$), 1595, 1449, 1053, 833, 754, 693 cm^{-1}; HRMS (ESI) *m*/*z*: [M + H]$^+$ calcd for $C_{29}H_{23}BrNO^+$ 480.0958 found 480.0953.

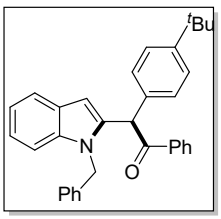


2-(1-benzyl-5-(trifluoromethyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ja). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-phenylprop-1-yn-1-yl)-4-(trifluoromethyl) aniline **1j** (36.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (42.2 mg, 90% yield) as white solid. mp = 152–154 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.92 (s, 1H), 7.67 – 7.63 (m, 2H), 7.52 – 7.46 (m, 2H), 7.43 – 7.35 (m, 7H), 7.32 – 7.27 (m, 4H), 7.08 – 7.04 (m, 2H), 6.52 (s, 1H), 6.00 (s, 1H), 5.39 (d, J = 17.0 Hz, 1H), 5.14 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.6, 139.4, 139.1, 137.1, 136.2, 136.1, 133.4, 129.4, 129.3, 129.0, 128.8, 128.7, 128.2, 128.0, 126.9, 126.5, 125.4 (q, $J^1_{C\text{-}F}$ = 269.9 Hz), 122.4 (q, $J^2_{C(Ar)\text{-}F}$ = 31.8 Hz), 118.9 (q, $J^3_{C(Ar)\text{-}F}$ = 3.5 Hz), 118.6 (q, $J^3_{C(Ar)\text{-}F}$ = 4.3 Hz), 109.6, 104.9, 51.8, 47.2; IR (KBr): 3064, 3026, 2935, 2851, 1690 ($\nu_{C=O}$), 1595, 1448, 1034, 835, 742, 693 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{23}F_3NO^+$ 470.1726 found 470.1735.

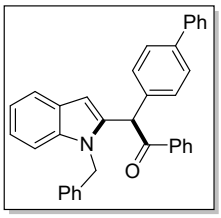


2-(1-benzyl-1*H*-indol-2-yl)-1-phenyl-2-(p-tolyl)ethan-1-one (3ka). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(*p*-tolyl)prop-1-yn-1-yl)aniline **1k** (31.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (34.0 mg, 82% yield) as white solid. mp = 65–67 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 – 7.73 (m, 3H), 7.58 (t, J = 7.5 Hz, 1H), 7.52 – 7.47 (m, 4H), 7.41 – 7.35 (m, 3H), 7.34 – 7.27 (m, 5H), 7.24 – 7.20 (m, 2H), 6.55 (s, 1H), 6.07 (s, 1H), 5.51 (d, J = 17.0 Hz, 1H), 5.20 (d, J = 17.0 Hz, 1H), 2.51 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.0, 138.1, 137.9, 137.4, 137.0, 136.4, 133.7, 133.1, 129.6, 129.3, 129.1, 128.8, 128.5, 127.8, 127.7, 126.6, 122.1, 120.8, 119.9, 109.3, 103.9, 51.5, 46.9, 21.2; IR (KBr): 3055, 3028, 2920, 2868, 1690 ($\nu_{C=O}$), 1595, 1452, 1342, 1020, 808,

749, 688 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{26}NO^+$ 416.2009 found 416.2010.

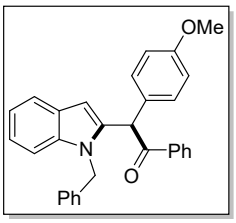


2-(1-benzyl-1*H*-indol-2-yl)-2-(4-(*tert*-butyl)phenyl)-1-phenylethan-1-one (3la). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(4-(*tert*-butyl)phenyl) prop-1-yn-1-yl)aniline **1l** (35.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.6 mg, 80% yield) as white solid. mp = 58–60 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 – 7.65 (m, 3H), 7.50 (t, J = 7.4 Hz, 1H), 7.46 – 7.37 (m, 6H), 7.33 – 7.27 (m, 5H), 7.20 (t, J = 7.4 Hz, 1H), 7.16 – 7.11 (m, 2H), 6.51 (s, 1H), 6.03 (s, 1H), 5.45 (d, J = 17.0 Hz, 1H), 5.15 (d, J = 17.0 Hz, 1H), 1.41 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.0, 150.5, 138.1, 137.9, 137.0, 136.4, 133.6, 133.1, 129.1, 129.0, 128.8, 128.5, 127.8, 127.7, 126.6, 125.7, 122.1, 120.8, 119.9, 109.3, 103.8, 51.3, 46.9, 34.6, 31.5; IR (KBr): 3059, 3028, 2961, 2929, 2866, 1687 ($\nu_{C=O}$), 1597, 1452, 1352, 1030, 818, 746, 689 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{33}H_{32}NO^+$ 458.2478 found 458.2471.

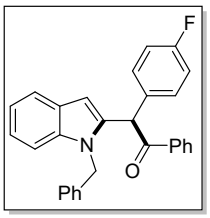


2-([1,1'-biphenyl]-4-yl)-2-(1-benzyl-1*H*-indol-2-yl)-1-phenylethan-1-one (3ma). The reaction was performed following General Procedure B with 2-(3-([1,1'-biphenyl]-4-yl)prop-1-yn-1-yl)-*N*-benzylaniline **1m** (37.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (41.0 mg, 86% yield) as white solid. mp = 86–88 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 – 7.62 (m, 7H), 7.52 – 7.47 (m, 3H), 7.42 – 7.36 (m, 7H), 7.33 – 7.27 (m, 3H), 7.20 (t, J = 7.4 Hz, 1H), 7.16 – 7.12 (m, 2H), 6.52 (s, 1H), 6.06 (s, 1H), 5.46 (d, J = 17.1 Hz, 1H), 5.14 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.7, 140.6, 140.5, 138.0, 137.7, 136.5, 136.1, 135.6, 133.0, 129.7, 129.0, 128.7, 128.4, 127.7, 127.5, 127.4, 127.2, 127.0, 126.4, 122.1, 120.7, 119.8, 109.2, 103.9, 51.4, 46.8, one resonance was not observed

due to coincidental overlap; IR (KBr): 3056, 3028, 2924, 2854, 1688 ($\nu_{C=O}$), 1596, 1451, 1006, 820, 739, 689 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{35}H_{28}NO^+$ 478.2165 found 478.2167.

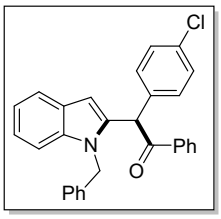


2-(1-benzyl-1*H*-indol-2-yl)-2-(4-methoxyphenyl)-1-phenylethan-1-one (3na). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(4-methoxyphenyl) prop-1-yn-1-yl)aniline **1n** (32.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (32.8 mg, 76% yield) as white solid. mp = 78–80 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 – 7.65 (m, 3H), 7.51 (t, J = 7.4 Hz, 1H), 7.45 – 7.40 (m, 4H), 7.34 – 7.28 (m, 3H), 7.25 – 7.19 (m, 3H), 7.16 – 7.12 (m, 2H), 6.97 (d, J = 8.6 Hz, 2H), 6.47 (s, 1H), 5.98 (s, 1H), 5.44 (d, J = 17.0 Hz, 1H), 5.14 (d, J = 17.1 Hz, 1H), 3.86 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.1, 159.2, 138.1, 137.9, 137.1, 136.3, 133.1, 130.4, 129.1, 128.8, 128.7 128.5, 127.8, 127.6, 126.6, 122.1, 120.8, 119.9, 114.3, 109.3, 103.8, 55.3, 51.0, 46.9; IR (KBr): 3057, 3030, 2961, 2920, 2835, 1690 ($\nu_{C=O}$), 1594, 1453, 1343, 1018, 817, 747, 688 cm^{-1}; HRMS (ESI) *m/z*: $[M + H]^+$ calcd for $C_{30}H_{26}NO_2^+$ 432.1958 found 432.1967.

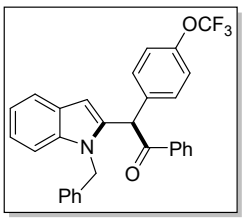


2-(1-benzyl-1*H*-indol-2-yl)-2-(4-fluorophenyl)-1-phenylethan-1-one (3oa). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(4-fluorophenyl)prop-1-yn-1-yl) aniline **1o** (31.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.0 mg, 86% yield) as white solid. mp = 64–66 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 – 7.62 (m, 3H), 7.52 (t, J = 7.4 Hz, 1H), 7.44 – 7.40 (m, 4H), 7.34 – 7.27 (m, 4H), 7.25 – 7.22 (m, 1H), 7.20 (t, J = 7.4 Hz, 1H), 7.13 – 7.07 (m, 4H), 6.44 (s, 1H), 5.97 (s, 1H), 5.47 (d, J = 17.0 Hz, 1H), 5.07 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.7, 162.4 (d, $J^1_{C(Ar)-F}$ = 246.4 Hz), 138.2, 137.8, 136.5, 136.1, 133.3, 132.5 (d, $J^4_{C(Ar)-F}$ = 3.2 Hz), 131.0 (d, $J^3_{C(Ar)-F}$ =

8.2 Hz), 129.2, 128.8, 128.6, 128.0, 127.6, 126.6, 122.4, 120.9, 120.1, 115.7 (d, $J^2_{C(Ar)\text{-}F}$ = 21.5 Hz), 109.4, 104.0, 51.0, 46.9; IR (KBr): 3064, 3030, 2942, 2852, 1684 ($\nu_{C=O}$), 1596, 1454, 1018, 824, 747, 688 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{29}H_{23}FNO^+$ 420.1758 found 420.1758.

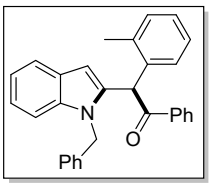


2-(1-benzyl-1*H*-indol-2-yl)-2-(4-chlorophenyl)-1-phenylethan-1-one (3pa). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(4-chlorophenyl)prop-1-yn-1-yl) aniline **1p** (33.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.6 mg, 84% yield) as white solid. mp = 74–76 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 – 7.61 (m, 3H), 7.50 (t, J = 7.4 Hz, 1H), 7.42 – 7.38 (m, 4H), 7.38 – 7.34 (m, 2H), 7.31 – 7.27 (m, 3H), 7.22 – 7.17 (m, 3H), 7.12 – 7.08 (m, 2H), 6.44 (s, 1H), 5.97 (s, 1H), 5.45 (d, J = 17.0 Hz, 1H), 5.05 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.4, 138.2, 137.7, 136.1, 136.0, 135.3, 133.7, 133.3, 130.8, 129.2, 129.0, 128.8, 128.6, 128.0, 127.6, 126.6, 122.4, 120.9, 120.1, 109.4, 104.1, 51.2, 46.9; IR (KBr): 3060, 3028, 2925, 2852, 1689 ($\nu_{C=O}$), 1595, 1452, 1015, 811, 742, 688 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{29}H_{23}ClNO^+$ 436.1463 found 436.1462.

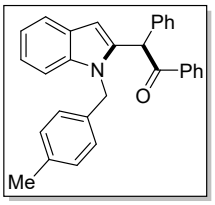


2-(1-benzyl-1H-indol-2-yl)-1-phenyl-2-(4-(trifluoromethoxy) phenyl)ethan-1-one (3qa). The reaction was performed following General Procedure B with *N*-benzyl-2-(3-(4-(trifluoromethoxy)phenyl)prop-1-yn-1-yl)aniline **1q** (38.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (42.7 mg, 88% yield) as white solid. mp = 59–61 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 – 7.62 (m, 3H), 7.50 (t, J = 7.4 Hz, 1H), 7.42 – 7.37 (m, 4H), 7.32 – 7.27 (m, 5H), 7.24 – 7.17 (m, 3H), 7.11 – 7.07 (m, 2H), 6.47 (s, 1H), 6.02 (s, 1H), 5.47 (d, J = 17.0 Hz, 1H), 5.07 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ

195.3, 148.8, 138.2, 137.7, 136.1, 136.0, 135.5, 133.4, 130.9, 129.2, 128.8, 128.7, 128.0, 127.6, 126.6, 122.5, 121.2, 121.0, 120.6 (q, $J^1_{C\text{-}F}$ = 257.3 Hz), 120.2, 109.4, 104.1, 51.1, 47.0; IR (KBr): 3060, 3032, 2927, 2854, 1690 ($\nu_{C=O}$), 1596, 1453, 1019, 820, 746, 689 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{23}F_3NO_2^+$ 486.1675 found 486.1682.

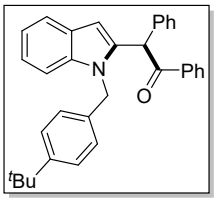


2-(1-benzyl-1*H*-indol-2-yl)-1-phenyl-2-(o-tolyl)ethan-1-one (3ra). The reaction was performed following General Procedure B with N-benzyl-2-(3-(o-tolyl)prop-1-yn-1-yl)aniline **1r** (31.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (32.4 mg, 78% yield) as white solid. mp = 181–183 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.68 – 7.60 (m, 3H), 7.52 – 7.48 (m, 1H), 7.43 – 7.38 (m, 4H), 7.33 – 7.27 (m, 5H), 7.22 – 7.15 (m, 2H), 7.10 – 7.04 (m, 3H), 6.32 (s, 1H), 6.10 (s, 1H), 5.34 (d, *J* = 17.0 Hz, 1H), 5.04 (d, *J* = 17.0 Hz, 1H), 2.15 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.5, 138.3, 137.9, 136.44, 136.38, 135.6, 133.2, 130.6, 129.6, 129.1, 128.7, 128.6, 127.9, 127.8, 127.7, 126.7, 126.6, 122.1, 120.8, 119.9, 109.3, 104.9, 49.1, 47.1, 19.8, one resonance was not observed due to coincidental overlap; IR (KBr): 3066, 3018, 2966, 2935, 2880, 1687 ($\nu_{C=O}$), 1595, 1451, 1346, 1007, 829, 751, 699 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{26}NO^+$ 416.2009 found 416.2006.

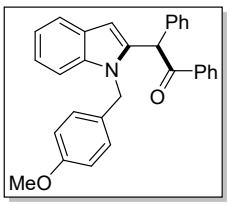


2-(1-(4-methylbenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3sa). The reaction was performed following General Procedure B with *N*-(4-methylbenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1s** (31.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (33.2 mg, 80% yield) as white solid. mp = 148–149 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 – 7.54 (m, 3H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.36 (m, 4H), 7.35 – 7.28 (m, 5H), 7.25 – 7.17 (m, 3H), 7.05 (d, *J* = 7.0 Hz, 2H), 6.47 (s, 1H), 6.04 (s, 1H), 5.42 (d, *J* = 16.9 Hz, 1H), 5.06 (d, *J* = 16.9 Hz, 1H),

2.48 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 195.9, 138.1, 137.6, 136.79, 136.76, 136.3, 134.9, 133.2, 129.8, 129.5, 128.9, 128.8, 128.5, 127.72, 127.65, 126.6, 122.1, 120.8, 119.9, 109.3, 104.0, 51.9, 46.7, 21.3; IR (KBr): 3055, 3028, 2953, 2929, 2861, 1688 ($\nu_{C=O}$), 1594, 1460, 1346, 1032, 831, 740, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{30}H_{26}NO^+$ 416.2009 found 416.2000.

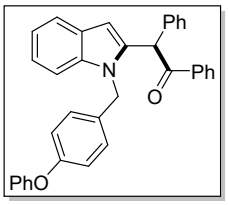


2-(1-(4-(*tert*-butyl)benzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ta). The reaction was performed following General Procedure B with *N*-(4-(*tert*-butyl)benzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1t** (35.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (38.9 mg, 85% yield) as white solid. mp = 80–82 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.68 – 7.64 (m, 3H), 7.52 – 7.45 (m, 3H), 7.44 – 7.34 (m, 4H), 7.33 – 7.27 (m, 5H), 7.19 (t, J = 7.5 Hz, 1H), 7.10 (d, J = 8.2 Hz, 2H), 6.48 (s, 1H), 6.04 (s, 1H), 5.42 (d, J = 16.9 Hz, 1H), 5.06 (d, J = 16.9 Hz, 1H), 1.44 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 195.8, 150.9, 138.2, 136.8, 136.7, 136.3, 134.9, 133.1, 129.5, 128.9, 128.8, 128.5, 127.7, 127.6, 126.4, 126.0, 122.1, 120.8, 119.9, 109.3, 103.9, 51.8, 46.6, 34.7, 31.5; IR (KBr): 3059, 3028, 2961, 2931, 2866, 1691 ($\nu_{C=O}$), 1597, 1460, 1344, 1006, 831, 748, 698 cm^{-1}; HRMS (ESI) *m*/*z*: $[M + H]^+$ calcd for $C_{33}H_{32}NO^+$ 458.2478 found 458.2473.

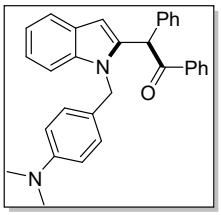


2-(1-(4-methoxybenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ua). The reaction was performed following General Procedure B with *N*-(4-methoxybenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1u** (32.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (35.4 mg, 82% yield) as white solid. mp = 128–129 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.70 – 7.64 (m, 3H), 7.51 (t, J = 7.4 Hz, 1H), 7.44 – 7.37 (m, 4H), 7.35 – 7.28 (m, 5H), 7.19 (t, J = 7.4 Hz, 1H), 7.07 (d, J = 8.5 Hz, 2H), 6.96 (d, J = 8.6 Hz, 2H), 6.47 (s, 1H), 6.07 (s, 1H), 5.39 (d, J = 16.7 Hz, 1H),

5.05 (d, J = 16.8 Hz, 1H), 3.89 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.8, 159.3, 138.1, 136.8, 136.7, 136.3, 133.2, 129.8, 129.4, 128.83, 128.80, 128.5, 127.8, 127.7, 127.6, 122.1, 120.8, 119.9, 114.5, 109.3, 103.9, 55.4, 51.8, 46.4; IR (KBr): 3055, 3026, 2949, 2920, 2835, 1691 ($\nu_{C=O}$), 1595, 1459, 1341, 1007, 829, 734, 693 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{26}NO_2^+$ 432.1958 found 432.1954.

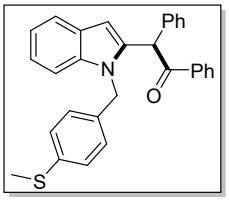


2-(1-(4-phenoxybenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3va). The reaction was performed following General Procedure B with *N*-(4-phenoxybenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1v** (38.9 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (39.0 mg, 79% yield) as white solid. mp = 71–73 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.77 – 7.70 (m, 2H), 7.65 (d, J = 7.8 Hz, 1H), 7.54 (t, J = 7.4 Hz, 1H), 7.44 – 7.39 (m, 5H), 7.38 – 7.27 (m, 6H), 7.24 – 7.15 (m, 2H), 7.13 – 7.01 (m, 6H), 6.47 (s, 1H), 6.05 (s, 1H), 5.40 (d, J = 17.0 Hz, 1H), 5.09 (d, J = 17.0 Hz, 1H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.8, 157.1, 157.0, 138.0, 136.7, 136.4, 133.2, 132.5, 129.9, 129.5, 128.9, 128.6, 128.0, 127.8, 127.7, 123.6, 122.2, 120.9, 120.0, 119.4, 119.0, 109.3, 104.1, 52.0, 46.4, two resonances were not observed due to coincidental overlap; IR (KBr): 3057, 3028, 2924, 2852, 1690 ($\nu_{C=O}$), 1590, 1460, 1005, 841, 749, 692 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{35}H_{28}NO_2^+$ 494.2115 found 494.2114.

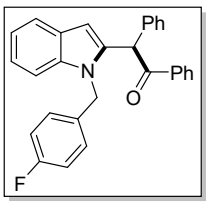


2-(1-(4-(dimethylamino)benzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3wa). The reaction was performed following General Procedure B with *N*,*N*-dimethyl-4-(((2-(3-phenylprop-1-yn-1-yl)phenyl)amino)methyl)aniline **1w** (34.0 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.9 mg, 83% yield) as white solid. mp = 80–82 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.67 – 7.62 (m, 3H), 7.50 (t, J = 7.5 Hz, 1H), 7.47 – 7.35 (m, 4H), 7.34 –

7.27 (m, 5H), 7.18 (t, J = 7.5 Hz, 1H), 7.06 (d, J = 8.5 Hz, 2H), 6.80 (d, J = 8.3 Hz, 2H), 6.44 (s, 1H), 6.11 (s, 1H), 5.39 (d, J = 16.6 Hz, 1H), 4.97 (d, J = 16.6 Hz, 1H), 3.05 (s, 6H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ196.0, 150.4, 138.2, 137.0, 136.8, 136.4, 133.1, 129.5, 129.0, 128.8, 128.5, 127.69, 127.66, 127.6, 125.5, 122.0, 120.8, 119.7, 113.1, 109.4, 103.7, 51.8, 46.5, 40.8; IR (KBr): 3053, 3026, 2924, 2852, 1691 ($\nu_{C=O}$), 1595, 1460, 1348, 1006, 831, 736, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{31}H_{29}N_2O^+$ 445.2274 found 445.2267.

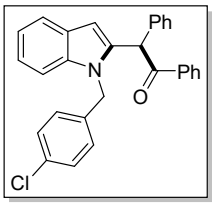


2-(1-(4-(methylthio)benzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3xa). The reaction was performed following General Procedure B with *N*-(4-(methylthio)benzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1x** (34.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (38.0 mg, 85% yield) as white solid. mp = 69–71 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.68 – 7.65 (m, 3H), 7.54 (t, J = 7.4 Hz, 1H), 7.45 – 7.35 (m, 5H), 7.34 – 7.27 (m, 6H), 7.20 (t, J = 7.4 Hz, 1H), 7.04 (d, J = 8.1 Hz, 2H), 6.47 (s, 1H), 6.03 (s, 1H), 5.40 (d, J = 17.0 Hz, 1H), 5.07 (d, J = 17.0 Hz, 1H), 2.57 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.8, 138.3, 138.1, 136.7, 136.3, 134.6, 133.3, 129.4, 128.9, 128.8, 128.6, 127.8, 127.7, 127.1, 122.2, 120.9, 120.0, 109.3, 104.1, 51.8, 46.5, 15.9, two resonances were not observed due to coincidental overlap; IR (KBr): 3059, 3028, 2920, 2852, 1686 ($\nu_{C=O}$), 1596, 1459, 1315, 1005, 829, 748, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{30}H_{26}NOS^+$ 448.1730 found 448.1729.

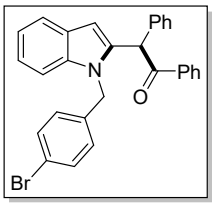


2-(1-(4-fluorobenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3ya). The reaction was performed following General Procedure B with *N*-(4-fluorobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1y** (31.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.5 mg, 87% yield) as white solid. mp = 148–150 °C. ^1H NMR

(400 MHz, $CDCl_3$): δ 7.72 (d, J = 7.8 Hz, 2H), 7.66 (d, J = 7.8 Hz, 1H), 7.54 (t, J = 7.4 Hz, 1H), 7.44 – 7.36 (m, 4H), 7.36 – 7.28 (m, 5H), 7.20 (t, J = 7.4 Hz, 1H), 7.11 – 7.03 (m, 4H), 6.48 (s, 1H), 6.02 (s, 1H), 5.38 (d, J = 17.0 Hz, 1H), 5.12 (d, J = 17.0 Hz, 1H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.7, 162.3 (d, $J^1_{C(Ar)\text{-}F}$ = 246.4 Hz), 138.0, 136.7, 136.6, 136.3, 133.5 (d, $J^4_{C(Ar)\text{-}F}$ = 3.2 Hz), 133.3, 129.4, 128.9, 128.8, 128.6, 128.2 (d, $J^3_{C(Ar)\text{-}F}$ = 8.1 Hz), 127.8, 127.7, 122.3, 120.9, 120.1, 115.9 (d, $J^2_{C(Ar)\text{-}F}$ = 21.6 Hz), 109.3, 104.3, 51.9, 46.3; IR (KBr): 3055, 3026, 2935, 2881, 1691 ($\nu_{C=O}$), 1595, 1460, 1007, 829, 734, 693 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{29}H_{23}FNO^+$ 420.1758 found 420.1753.

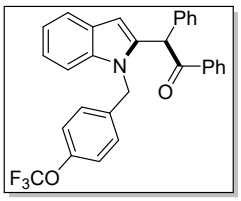


2-(1-(4-chlorobenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3za). The reaction was performed following General Procedure B with *N*-(4-chlorobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1z** (33.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (36.6 mg, 84% yield) as white solid. mp = 138–140 °C. ^1H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, J = 7.8 Hz, 2H), 7.67 (d, J = 7.8 Hz, 1H), 7.56 (t, J = 7.4 Hz, 1H), 7.45 – 7.35 (m, 7H), 7.34 – 7.27 (m, 4H), 7.21 (t, J = 7.4 Hz, 1H), 7.01 (d, J = 8.2 Hz, 2H), 6.48 (s, 1H), 6.00 (s, 1H), 5.38 (d, J = 17.2 Hz, 1H), 5.12 (d, J = 17.2 Hz, 1H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 195.7, 138.0, 136.7, 136.6, 136.3, 133.7, 133.4, 129.4, 129.2, 128.9, 128.8, 128.7, 127.9, 127.8, 127.7, 122.3, 121.0, 120.2, 109.2, 104.4, 51.9, 46.4, one resonance was not observed due to coincidental overlap; IR (KBr): 3055, 3024, 2935, 2851, 1686 ($\nu_{C=O}$), 1595, 1460, 1014, 831, 741, 698 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{29}H_{23}ClNO^+$ 436.1463 found 436.1458.

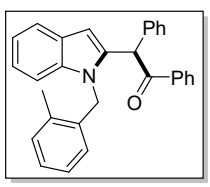


2-(1-(4-bromobenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3Aa). The reaction was performed following General Procedure B with *N*-(4-bromobenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1A** (37.5 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The

crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (43.1 mg, 90% yield) as white solid. mp = 79–81 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, J = 7.8 Hz, 2H), 7.67 (d, J = 7.9 Hz, 1H), 7.58 – 7.48 (m, 3H), 7.44 – 7.36 (m, 5H), 7.35 – 7.27 (m, 4H), 7.21 (t, J = 7.4 Hz, 1H), 6.95 (d, J = 8.1 Hz, 2H), 6.49 (s, 1H), 6.00 (s, 1H), 5.36 (d, J = 17.2 Hz, 1H), 5.10 (d, J = 17.1 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.7, 138.0, 136.8, 136.7, 136.6, 136.3, 133.4, 132.2, 129.4, 128.9, 128.74, 128.66, 128.2, 127.8, 127.7, 122.3, 121.7, 121.0, 120.2, 109.2, 104.4, 51.9, 46.4; IR (KBr): 3057, 3026, 2924, 2850, 1688 ($\nu_{C=O}$), 1595, 1460, 1010, 829, 748, 698 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{29}H_{23}BrNO^+$ 480.0958 found 480.0950.

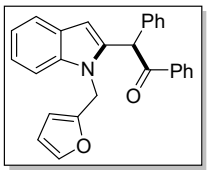


1,2-diphenyl-2-(1-(4-(trifluoromethoxy)benzyl)-1*H*-indol-2-yl)ethan-1-one (3Ba). The reaction was performed following General Procedure B with 2-(3-phenylprop-1-yn-1-yl)-*N*-(4-(trifluoromethoxy)benzyl)aniline **1B** (38.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (44.6 mg, 92% yield) as white solid. mp = 81–83 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ 7.88 – 7.85 (m, 2H), 7.57 – 7.51 (m, 2H), 7.42 – 7.31 (m, 5H), 7.28 – 7.24 (m, 2H), 7.22 – 7.16 (m, 3H), 7.09 (t, J = 7.3 Hz, 1H), 7.02 (t, J = 7.4 Hz, 1H), 6.96 (d, J = 8.6 Hz, 2H), 6.48 (s, 1H), 6.26 (s, 1H), 5.64 (d, J = 17.3 Hz, 1H), 5.40 (d, J = 17.3 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 195.7, 147.3, 137.6, 137.20, 137.16, 136.8, 135.9, 133.3, 129.4, 128.6, 128.5, 128.3, 128.1, 127.1, 121.7, 121.0, 120.2, 120.1 (q, $J^1_{C\text{-}F}$ = 256.2 Hz), 119.7, 110.0, 102.8, 50.5, 45.5, one resonance was not observed due to coincidental overlap; IR (KBr): 3053, 3030, 2922, 2850, 1693 ($\nu_{C=O}$), 1595, 1462, 1007, 843, 741, 698 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{30}H_{23}F_3NO_2^+$ 486.1675 found 486.1680.

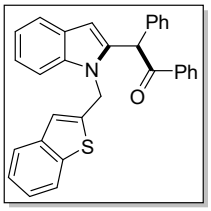


2-(1-(2-methylbenzyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3Ca). The reaction was performed following General Procedure B with *N*-(2-methylbenzyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1C**

(31.1 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (34.5 mg, 83% yield) as white solid. mp = 127–128 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 – 7.75 (m, 2H), 7.67 (d, J = 7.7 Hz, 1H), 7.53 (t, J = 7.4 Hz, 1H), 7.42 – 7.33 (m, 5H), 7.32 – 7.27 (m, 5H), 7.25 – 7.11 (m, 3H), 6.49 (d, J = 10.6 Hz, 2H), 5.92 (s, 1H), 5.25 (d, J = 17.7 Hz, 1H), 5.16 (d, J = 17.7 Hz, 1H), 2.33 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.0, 138.1, 136.9, 136.8, 136.4, 135.6, 134.9, 133.3, 130.6, 129.4, 128.91, 128.85, 128.6, 127.8, 127.7, 127.6, 126.6, 125.8, 122.2, 120.9, 120.0, 109.4, 104.2, 51.9, 44.7, 19.2; IR (KBr): 3056, 3025, 2972, 2939, 2860, 1685 ($\nu_{C=O}$), 1595, 1459, 1344, 1002, 831, 749, 700 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{30}H_{26}NO^+$ 416.2009 found 416.2002.



2-(1-(furan-2-ylmethyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3Da). The reaction was performed following General Procedure B with *N*-(furan-2-ylmethyl)-2-(3-phenylprop-1-yn-1-yl)aniline **1D** (28.7 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (24.3 mg, 62% yield) as white solid. mp = 158–159 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.01 – 7.94 (m, 2H), 7.61 – 7.53 (m, 2H), 7.46 (d, J = 7.9 Hz, 2H), 7.44 – 7.39 (m, 4H), 7.38 – 7.34 (m, 3H), 7.32 – 7.27 (m, 1H), 7.16 (t, J = 7.5 Hz, 1H), 6.43 – 6.40 (m, 2H), 6.39 (s, 1H), 6.26 (d, J = 3.2 Hz, 1H), 5.23 (d, J = 16.9 Hz, 1H), 5.15 (d, J = 16.9 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.0, 150.7, 142.6, 137.5, 136.7, 136.6, 136.5, 133.3, 129.6, 129.1, 128.9, 128.7, 127.80, 127.78, 122.1, 120.9, 120.1, 110.8, 109.4, 108.2, 104.0, 52.1, 40.4; IR (KBr): 3059, 3033, 2924, 2854, 1693 ($\nu_{C=O}$), 1595, 1457, 1010, 831, 740, 695 cm^{-1}; HRMS (ESI) m/z: [M + H]$^+$ calcd for $C_{27}H_{22}NO_2^+$ 392.1645 found 392.1652.



2-(1-(benzo[*b*]thiophen-2-ylmethyl)-1*H*-indol-2-yl)-1,2-diphenylethan-1-one (3Ea). The reaction was performed following General Procedure B with *N*-(benzo[*b*]thiophen-2-ylmethyl)-2-(3-

phenylprop-1-yn-1-yl)aniline **1E** (35.3 mg, 0.1 mmol), benzaldehyde **2a** (26.5 mg, 0.25 mmol), KO^{t}Bu (44.8 mg, 0.4 mmol) dissolved in dry CPME (1.0 mL) at 40 °C for 12 h. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 40:1) to give the product (29.7 mg, 65% yield) as white solid. mp = 152–154 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 – 7.77 (m, 1H), 7.69 – 7.65 (m, 3H), 7.60 (d, J = 7.8 Hz, 1H), 7.42 – 7.34 (m, 6H), 7.33 – 7.29 (m, 3H), 7.25 – 7.22 (m, 1H), 7.15 (t, J = 7.4 Hz, 1H), 7.10 – 7.04 (m, 2H), 6.97 (s, 1H), 6.41 (s, 1H), 6.17 (s, 1H), 5.51 (d, J = 17.2 Hz, 1H), 5.33 (d, J = 17.3 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 195.8, 141.6, 139.7, 139.6, 137.6, 136.7, 136.6, 136.3, 133.3, 129.5, 129.0, 128.9, 128.6, 127.89, 127.86, 124.8, 124.7, 123.7, 122.6, 122.4, 121.8, 121.0, 120.3, 109.3, 104.6, 51.9, 43.2; IR (KBr): 3054, 3028, 2927, 2852, 1682 ($\nu_{C=O}$), 1596, 1459, 1020, 835, 745, 697 cm^{-1}; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{31}H_{24}NOS^+$ 458.1573 found 458.1567.

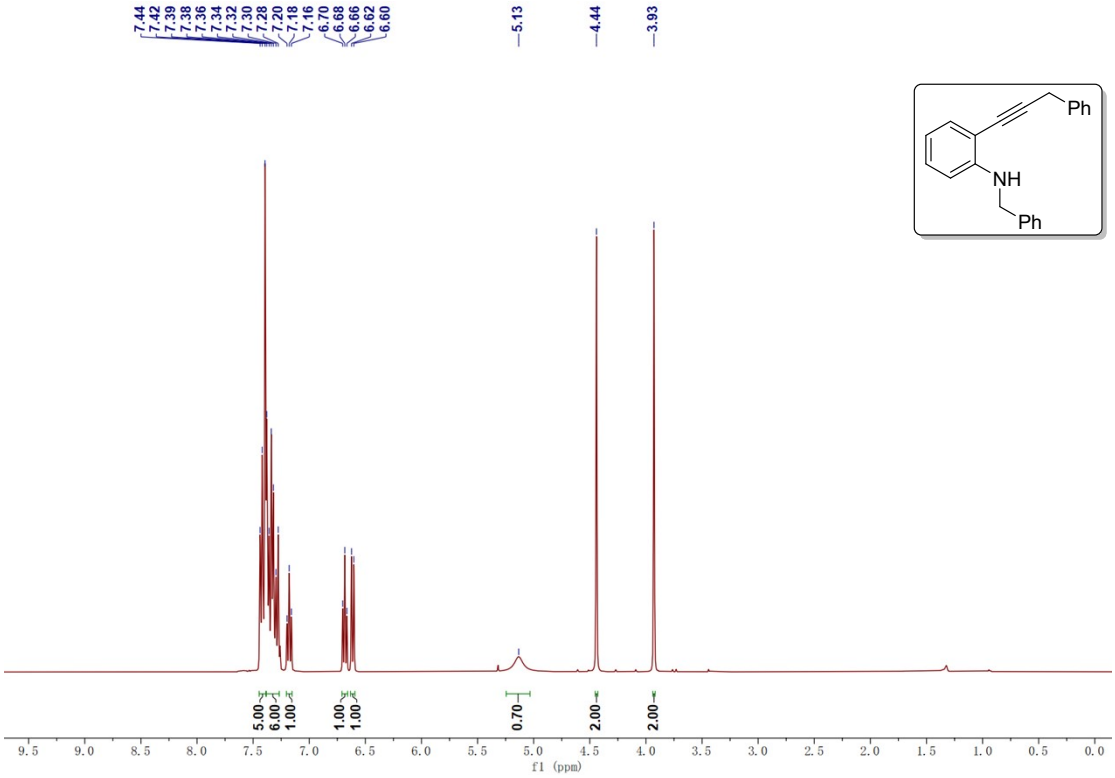
Reference

1. A. Kondoh, T. Aoki and M. Terada, Intramolecular Cyclization of Alkynyl α-Ketoanilide Utilizing 1,2 -Phospha-Brook Rearrangement Catalyzed by Phosphazene Base, *Org*. *Lett*., 2014, **16**, 3528-3531.

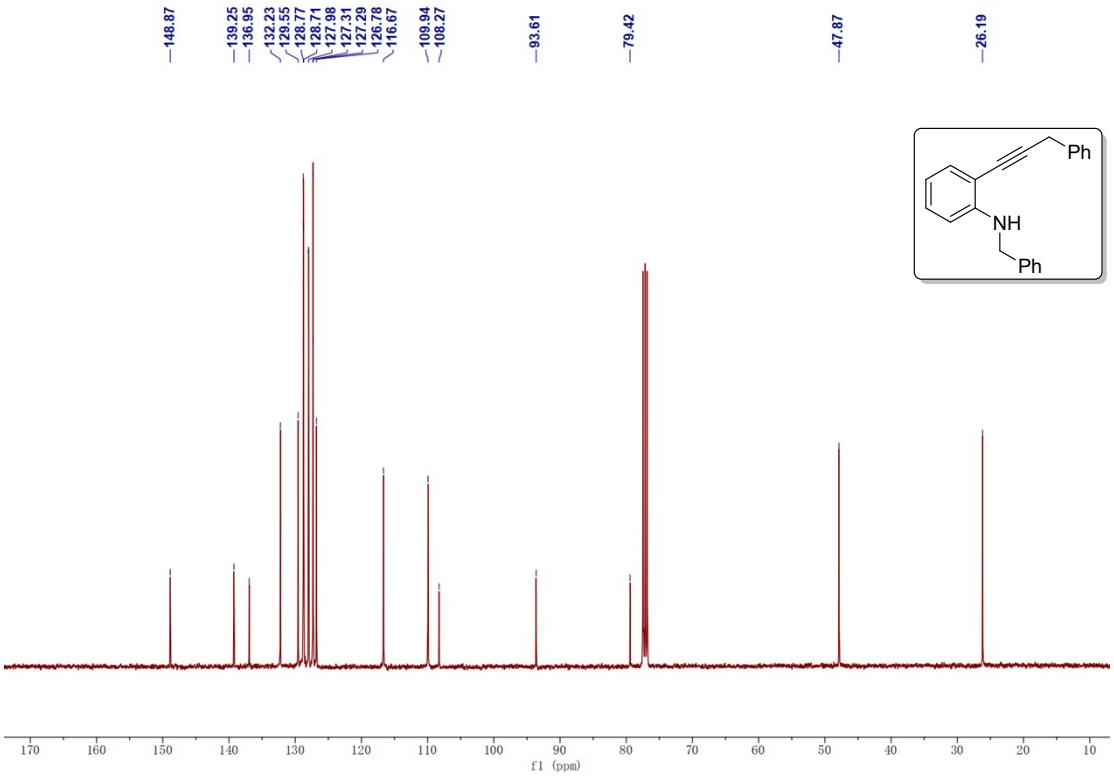
2. F. Zhou, H. M. Jin, Z. H. Xiang, J. Li, P. J. Walsh and S. Lin, Base-promoted tandem synthesis of 2-substituted indoles and N-fused polycyclic indoles, *Org*. *Lett*., 2023, **25**, 7132-7136.

NMR Spectra

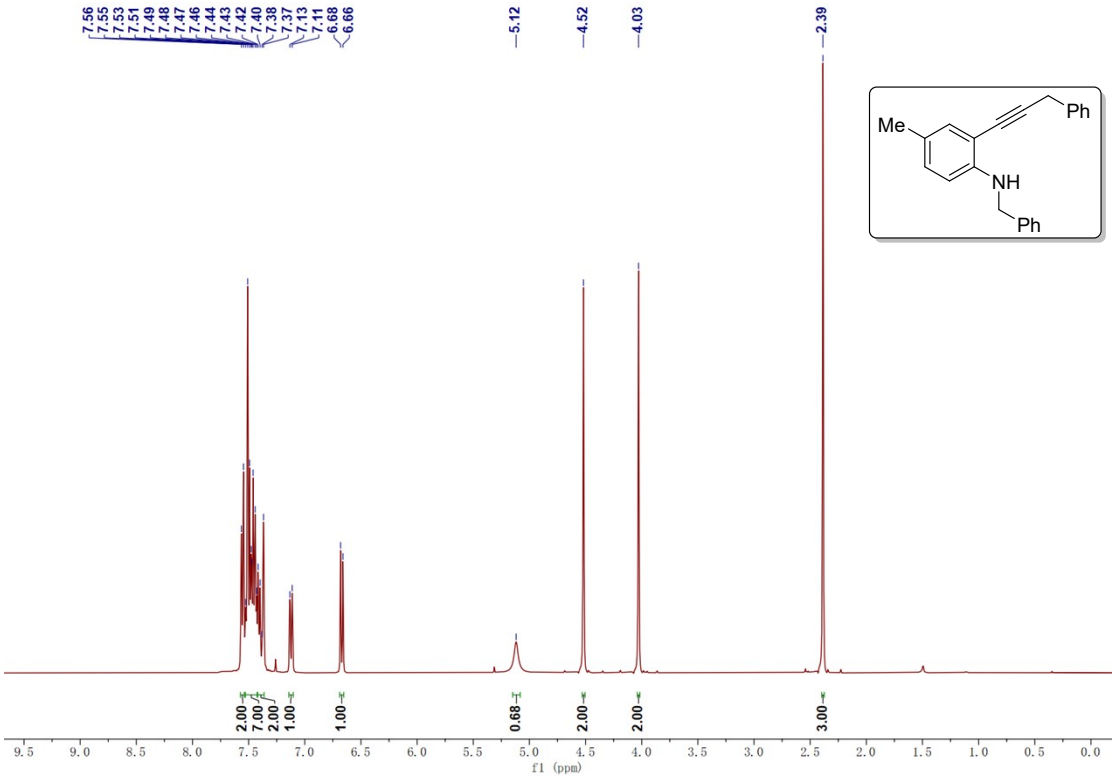
Supplementary Figure 1. ^{1}H NMR Spectrum of 1a (400 MHz, $CDCl_3$)



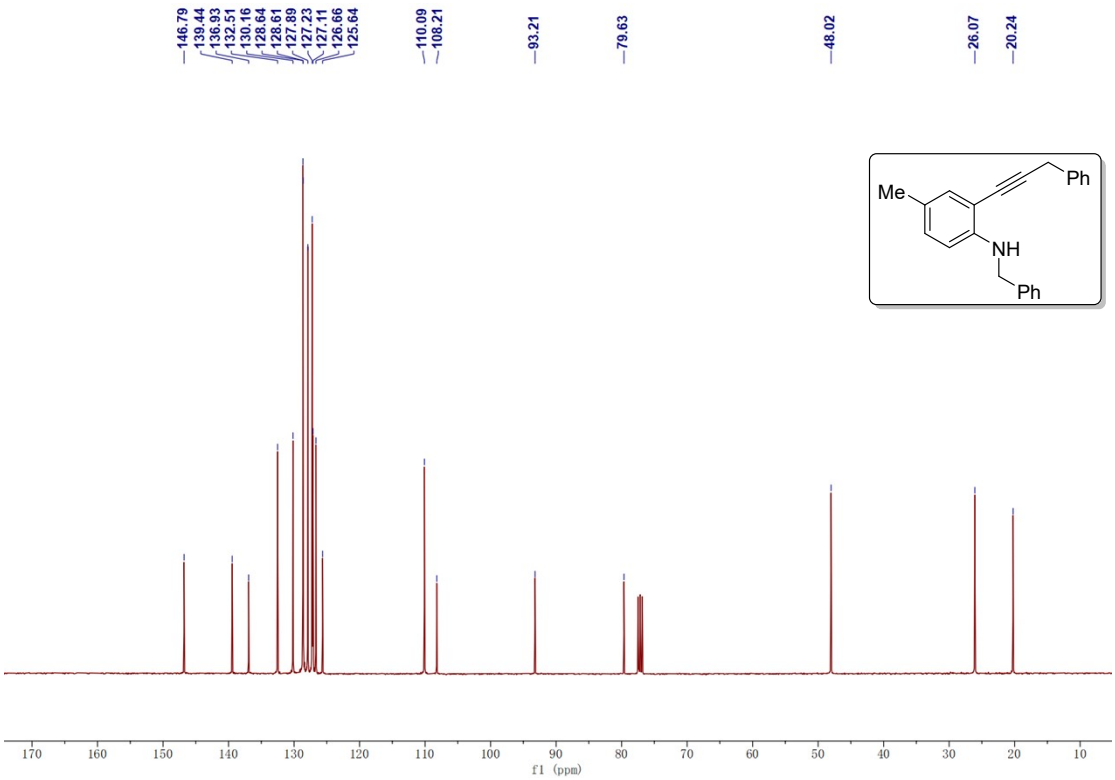
Supplementary Figure 2. ^{13}C NMR Spectrum of 1a (100 MHz, $CDCl_3$)



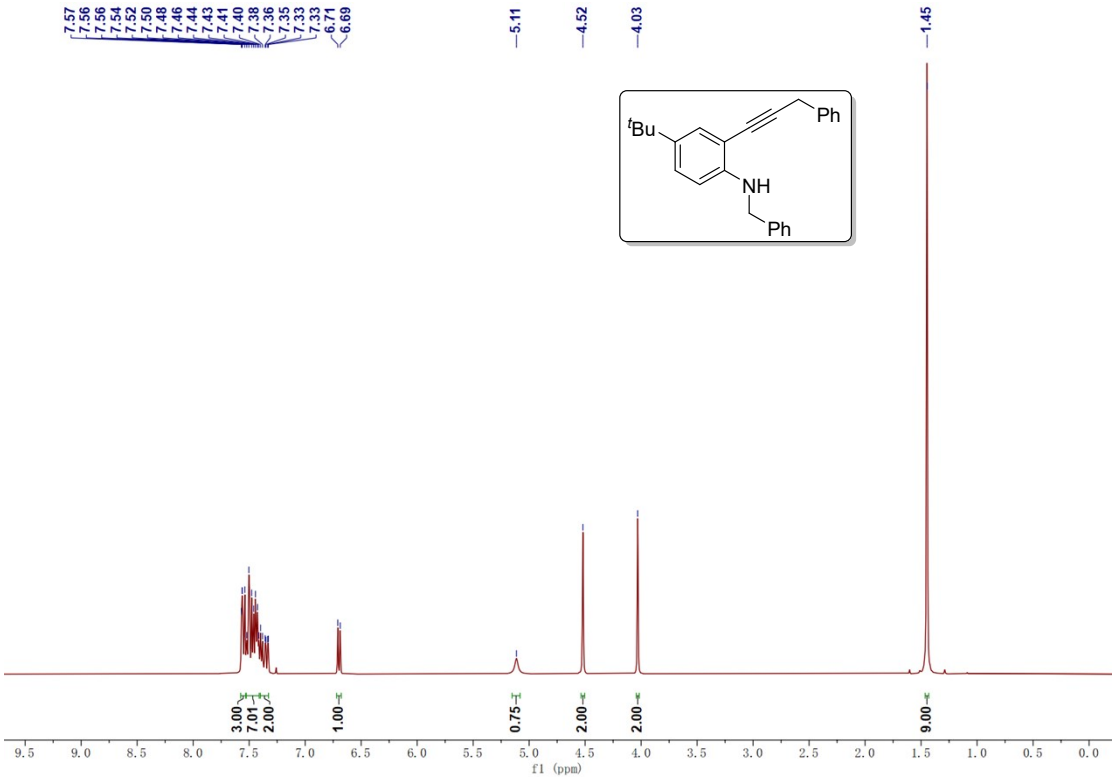
Supplementary Figure 3. ^{1}H NMR Spectrum of 1b (400 MHz, $CDCl_3$)



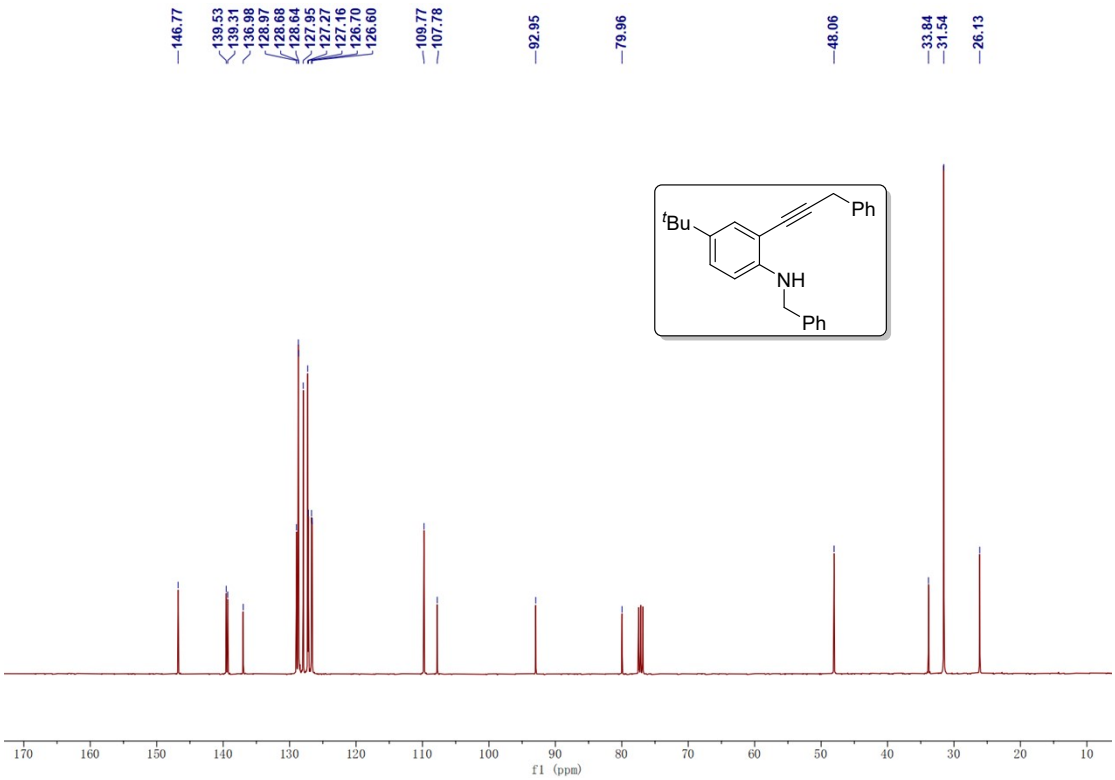
Supplementary Figure 4. ^{13}C NMR Spectrum of 1b (100 MHz, $CDCl_3$)



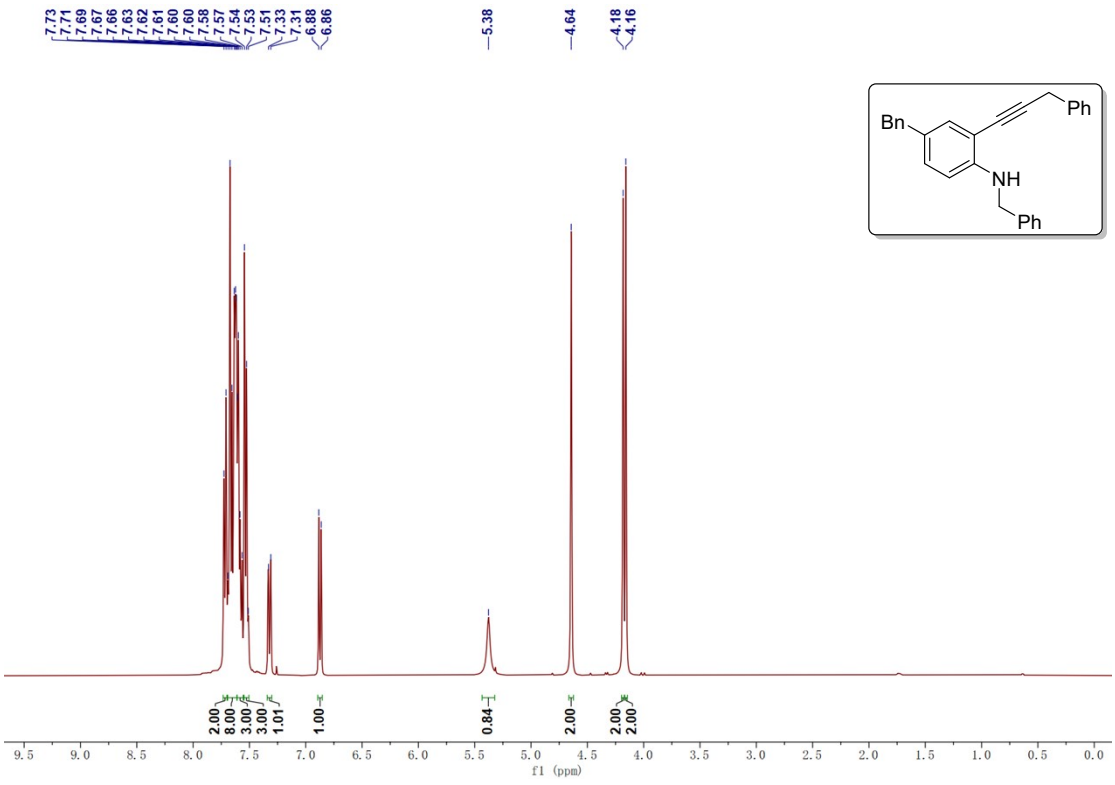
Supplementary Figure 5. ^{1}H NMR Spectrum of 1c (400 MHz, $CDCl_3$)



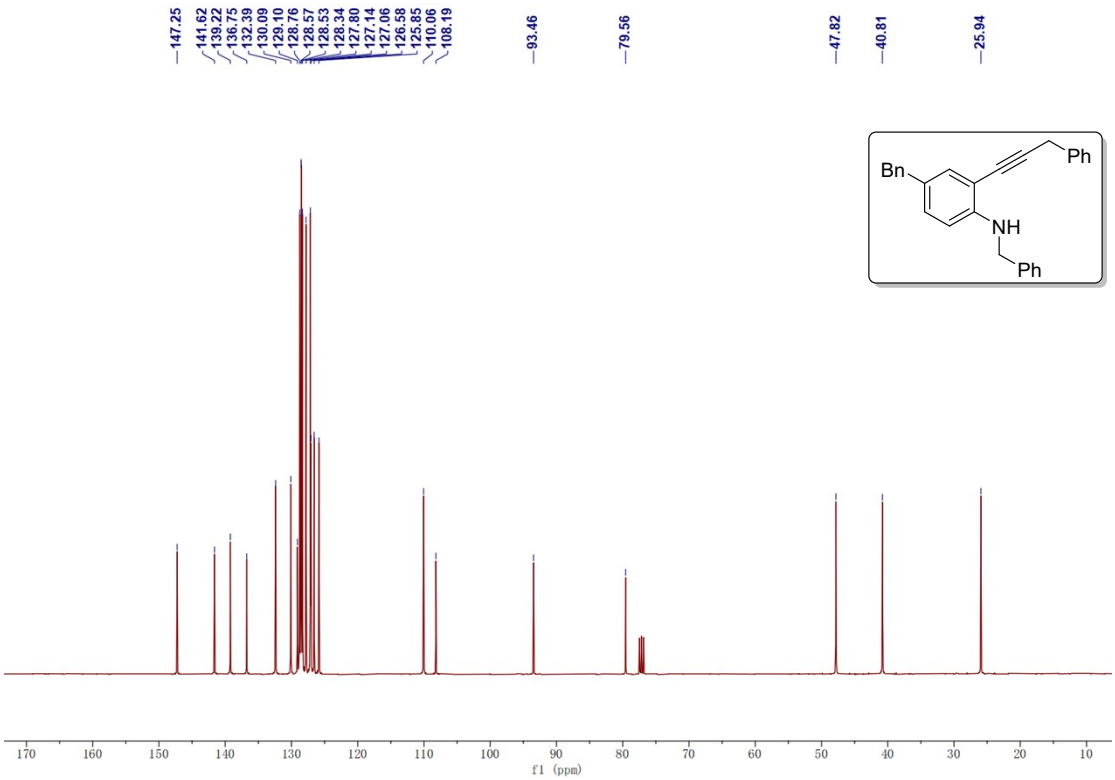
Supplementary Figure 6. ^{13}C NMR Spectrum of 1c (100 MHz, $CDCl_3$)



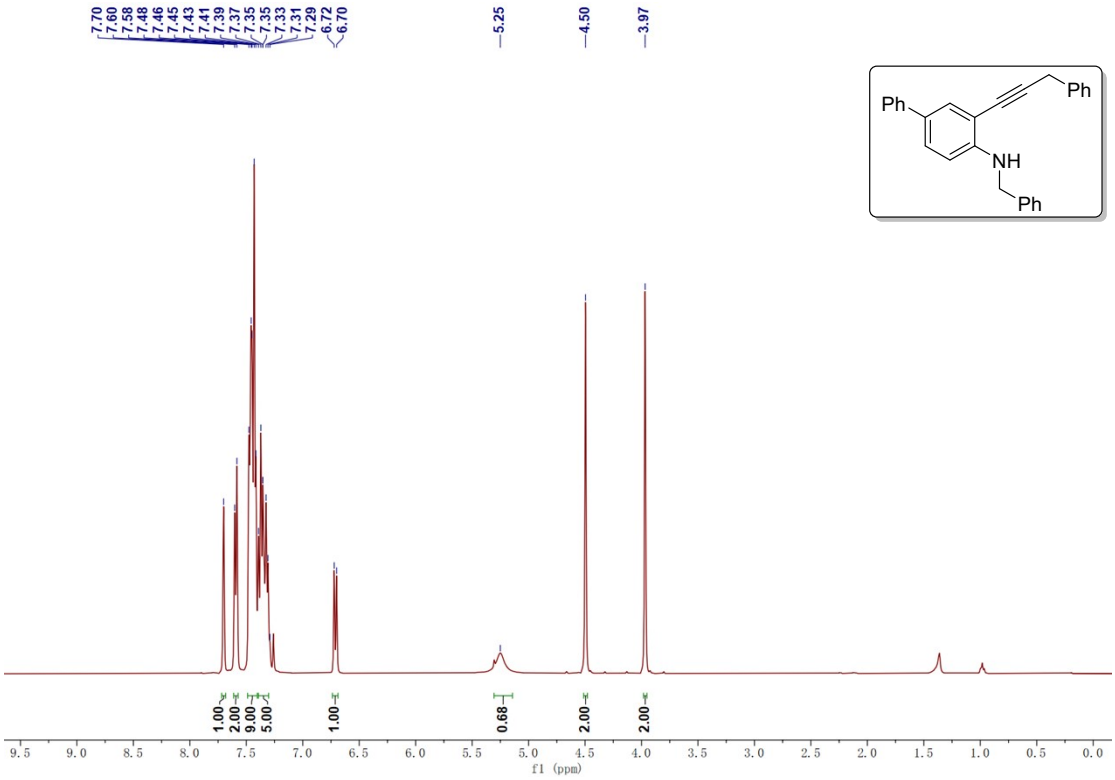
Supplementary Figure 7. ^{1}H NMR Spectrum of 1d (400 MHz, $CDCl_3$)



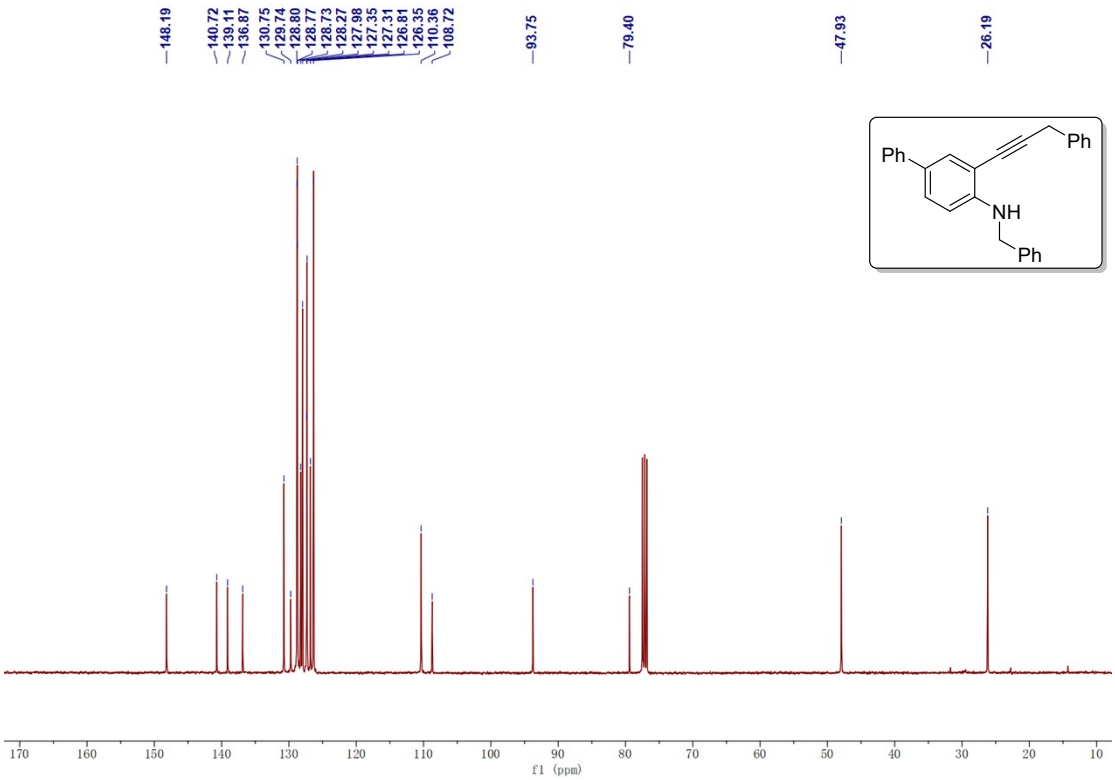
Supplementary Figure 8. ^{13}C NMR Spectrum of 1d (100 MHz, $CDCl_3$)



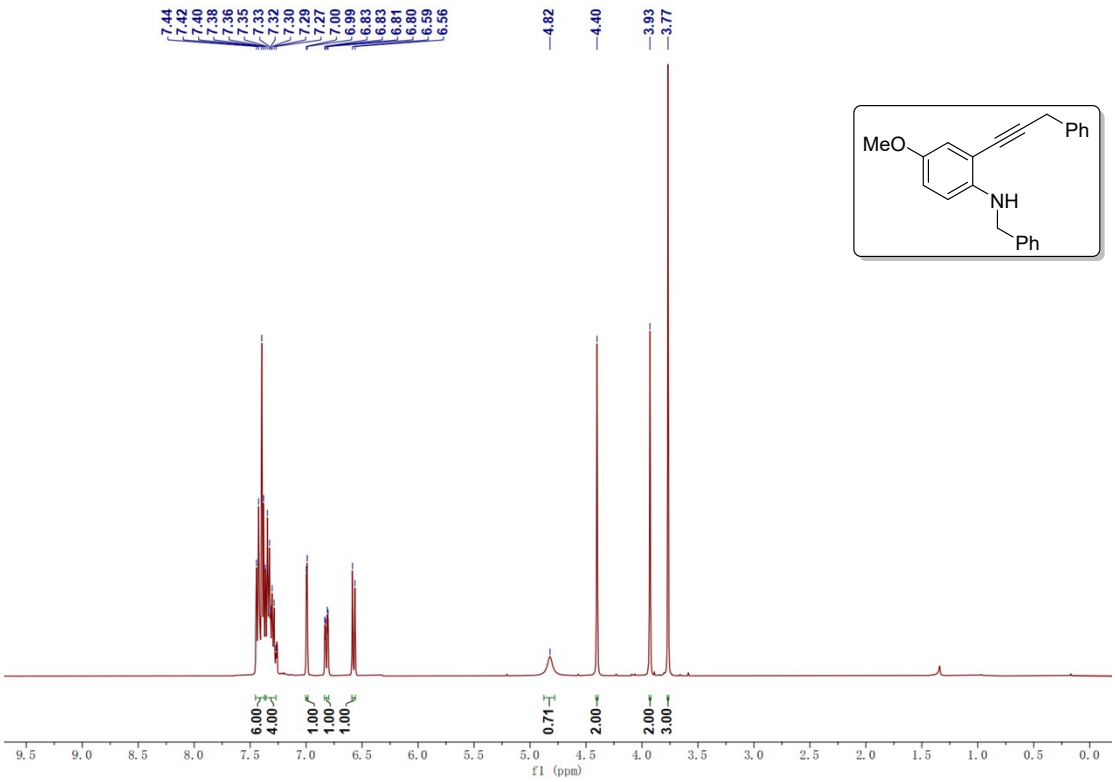
Supplementary Figure 9. ^{1}H NMR Spectrum of 1e (400 MHz, $CDCl_3$)



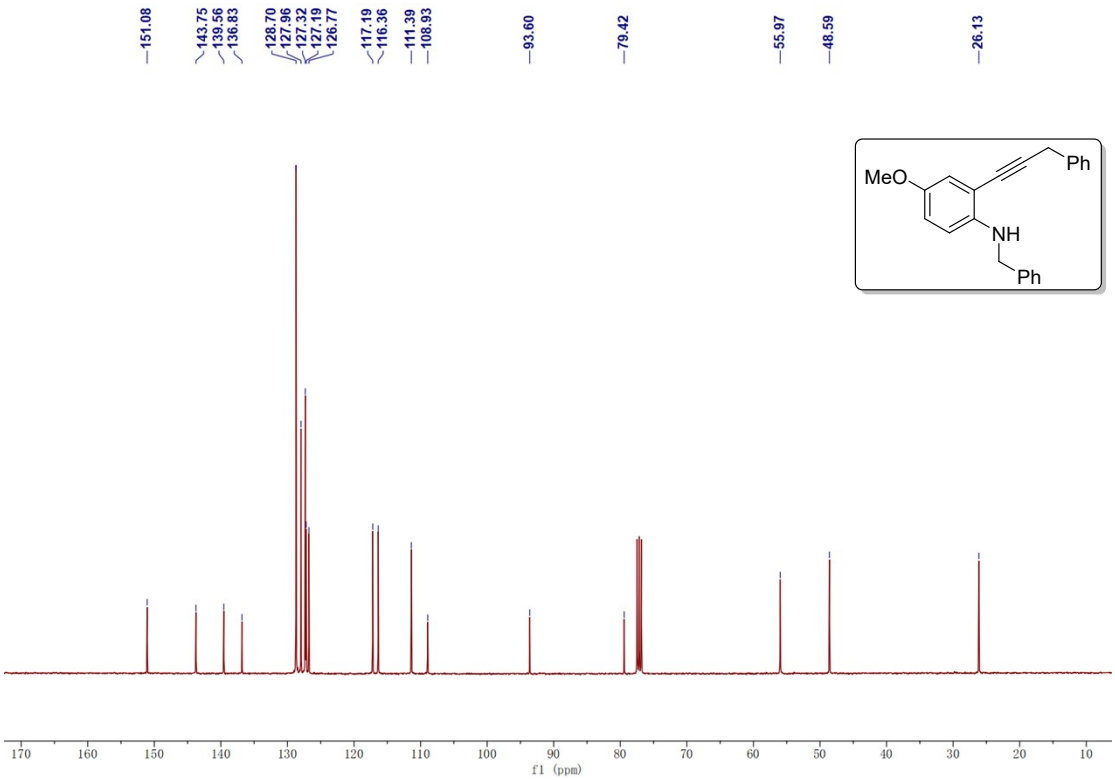
Supplementary Figure 10. ^{13}C NMR Spectrum of 1e (100 MHz, $CDCl_3$)



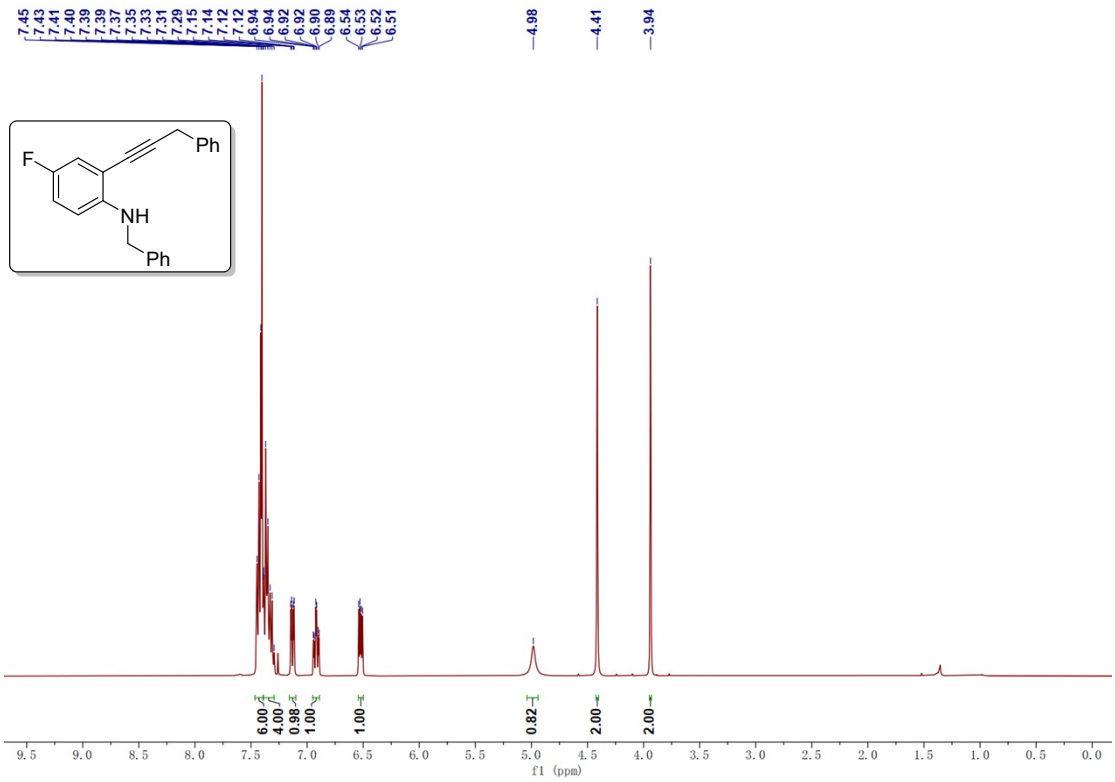
Supplementary Figure 11. ^{1}H NMR Spectrum of 1f (400 MHz, $CDCl_3$)



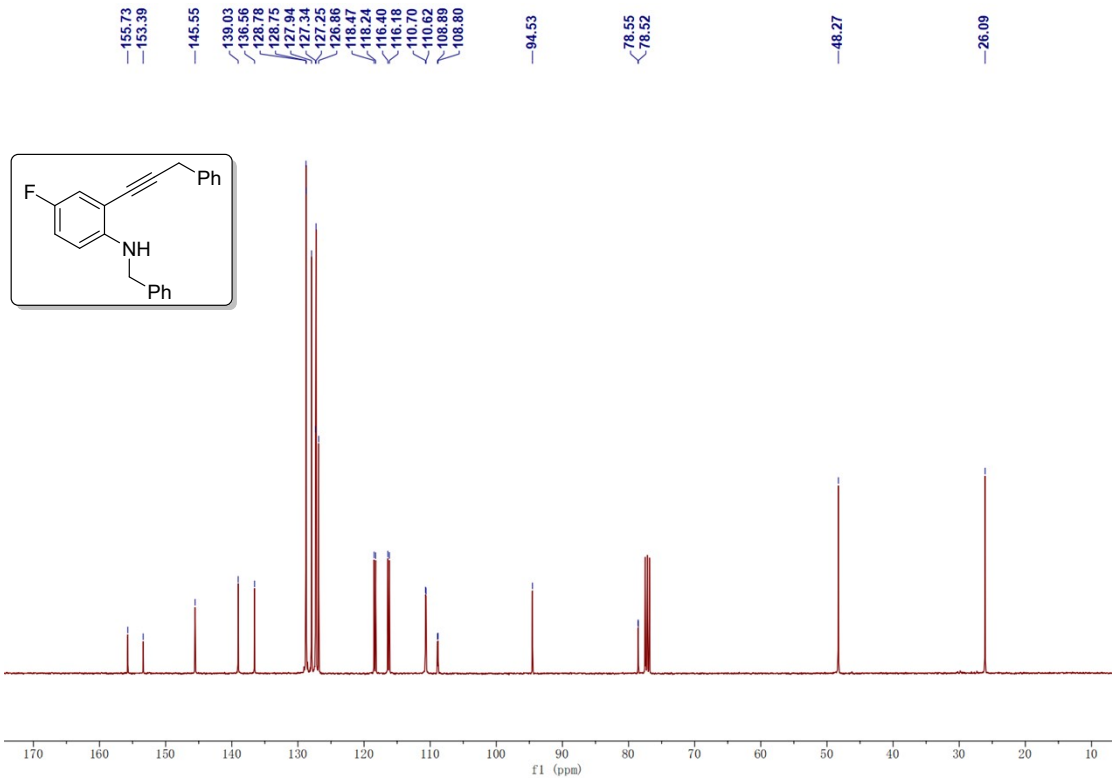
Supplementary Figure 12. ^{13}C NMR Spectrum of 1f (100 MHz, $CDCl_3$)



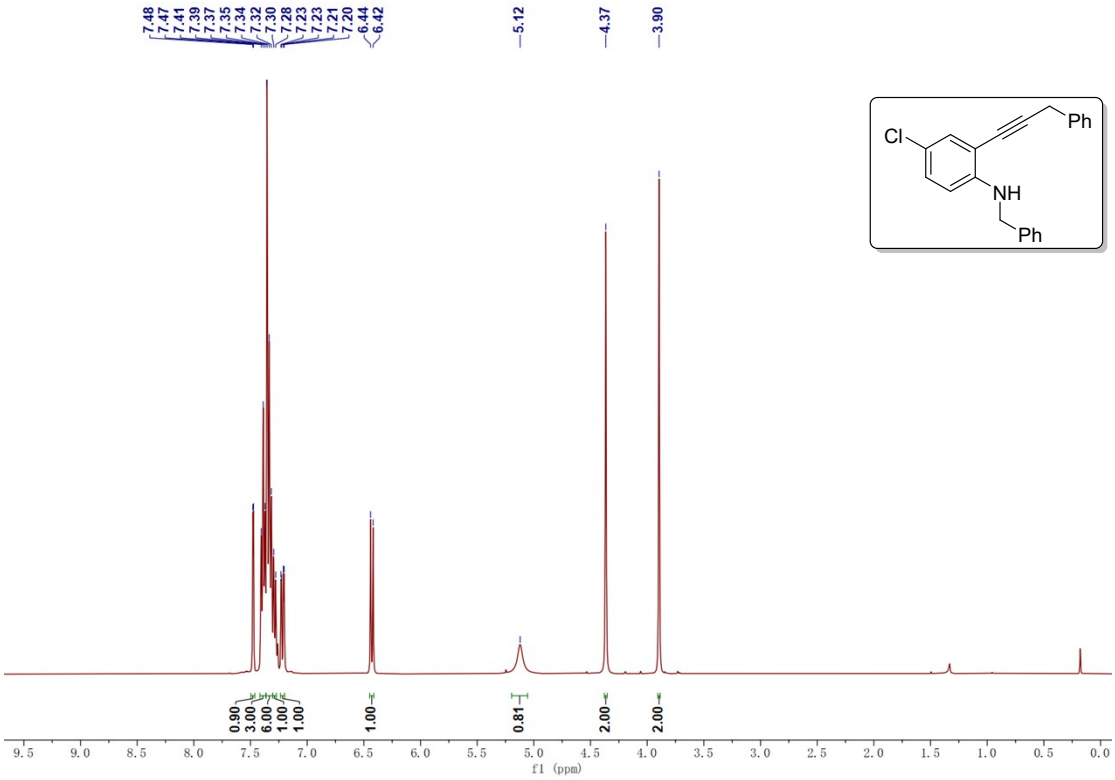
Supplementary Figure 13. ^{1}H NMR Spectrum of 1g (400 MHz, $CDCl_3$)



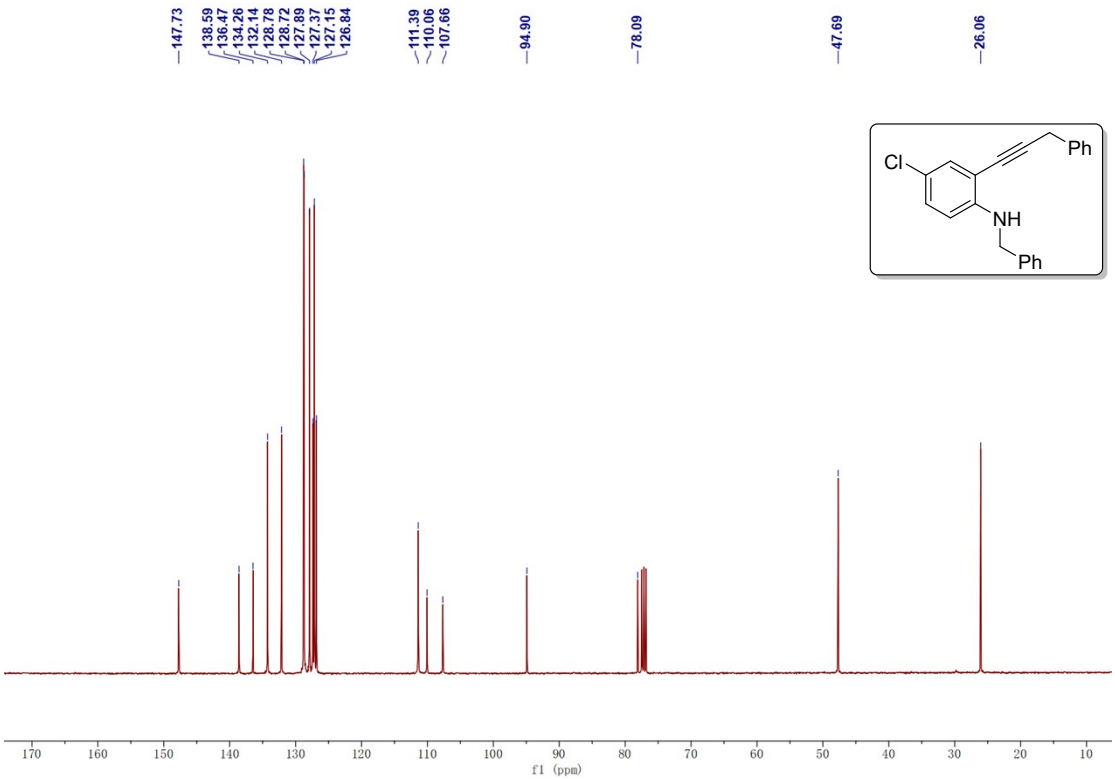
Supplementary Figure 14. ^{13}C NMR Spectrum of 1g (100 MHz, $CDCl_3$)



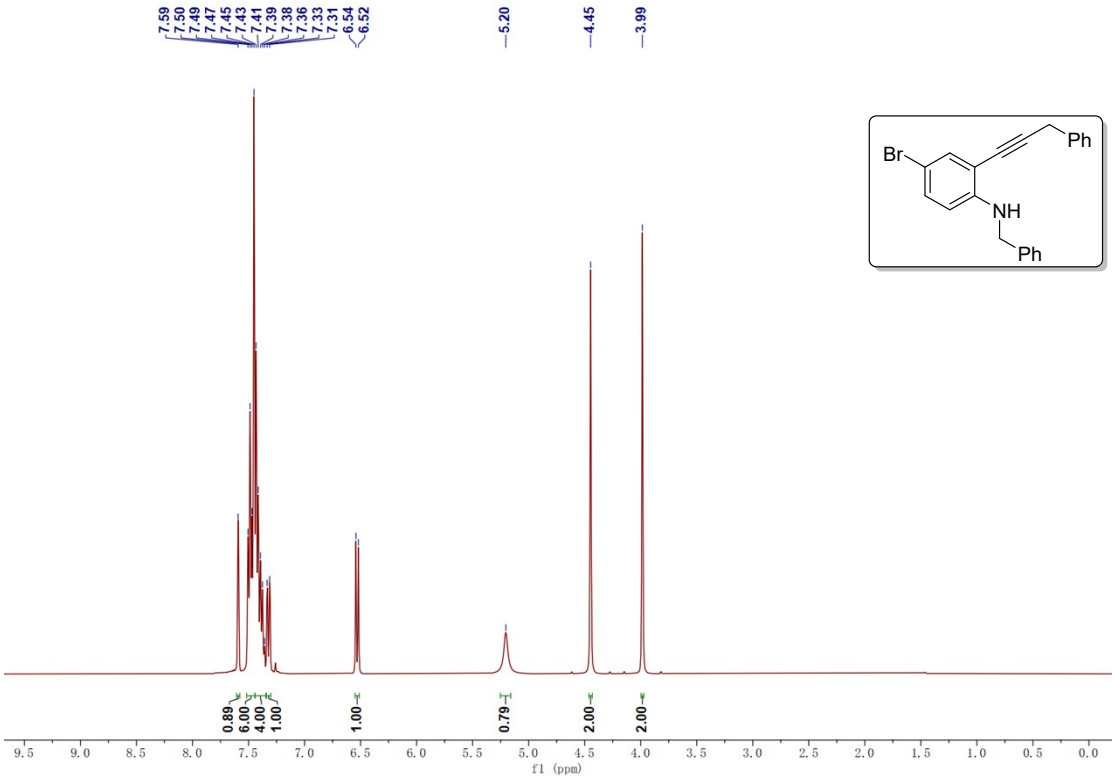
Supplementary Figure 15. ^{1}H NMR Spectrum of 1h (400 MHz, $CDCl_3$)



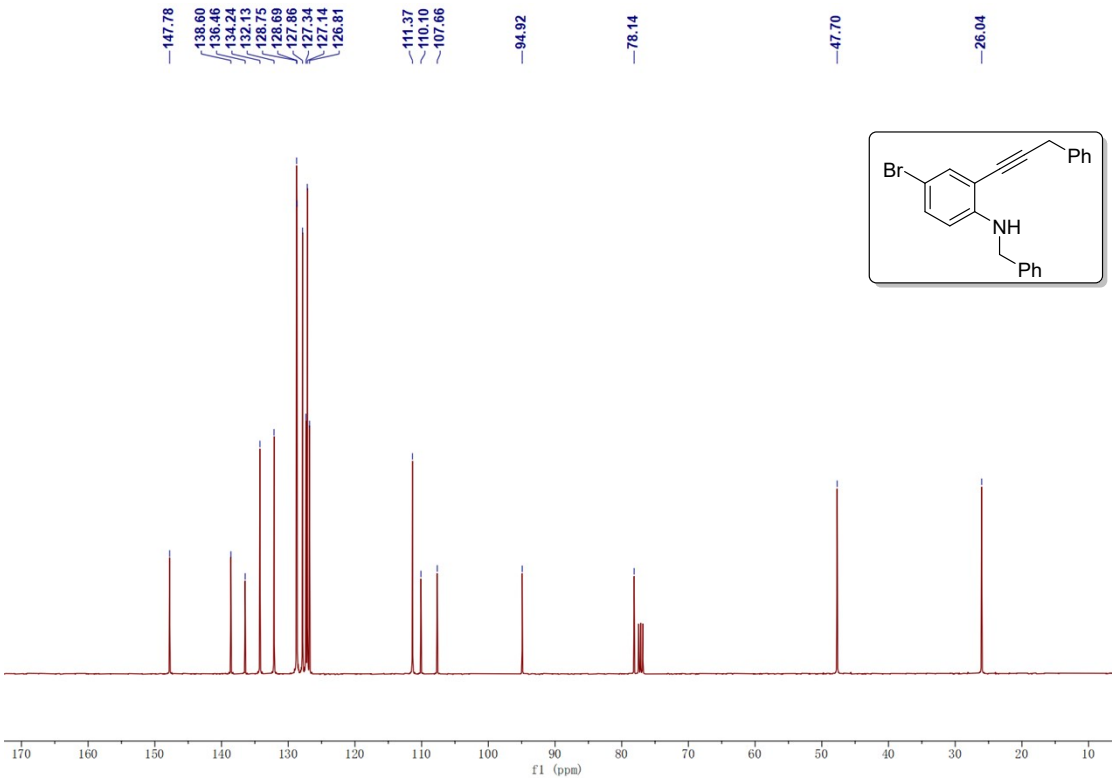
Supplementary Figure 16. ^{13}C NMR Spectrum of 1h (100 MHz, $CDCl_3$)



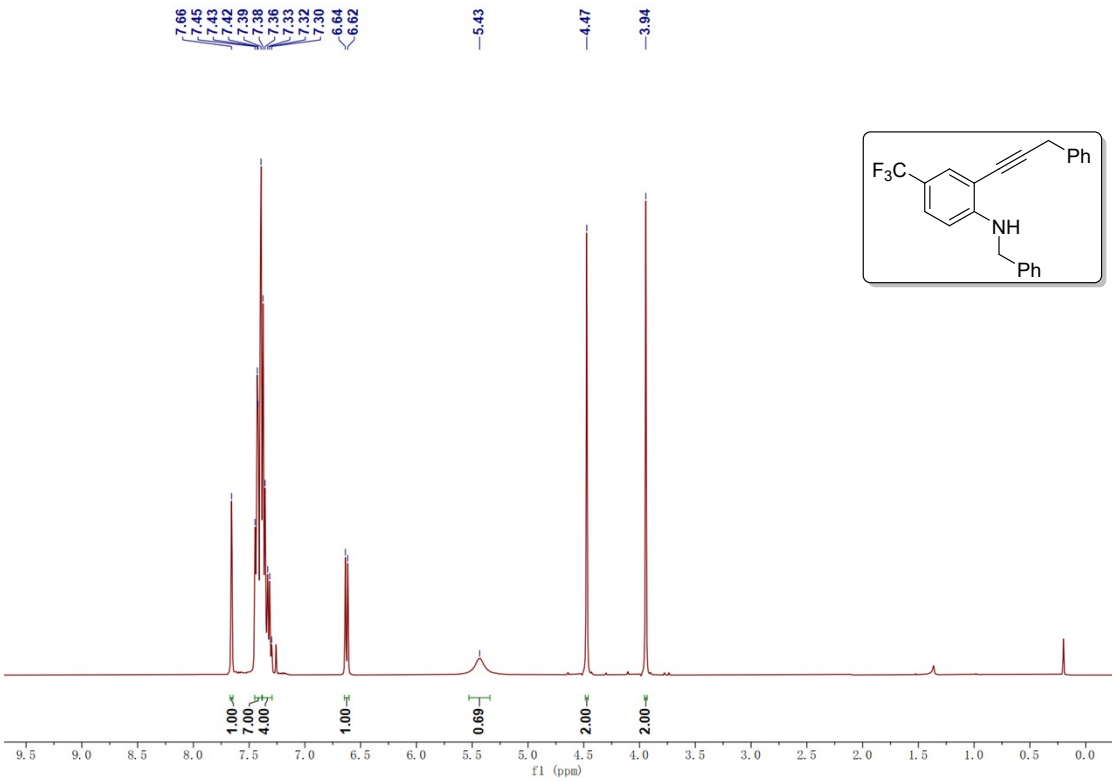
Supplementary Figure 17. ^{1}H NMR Spectrum of 1i (400 MHz, $CDCl_3$)



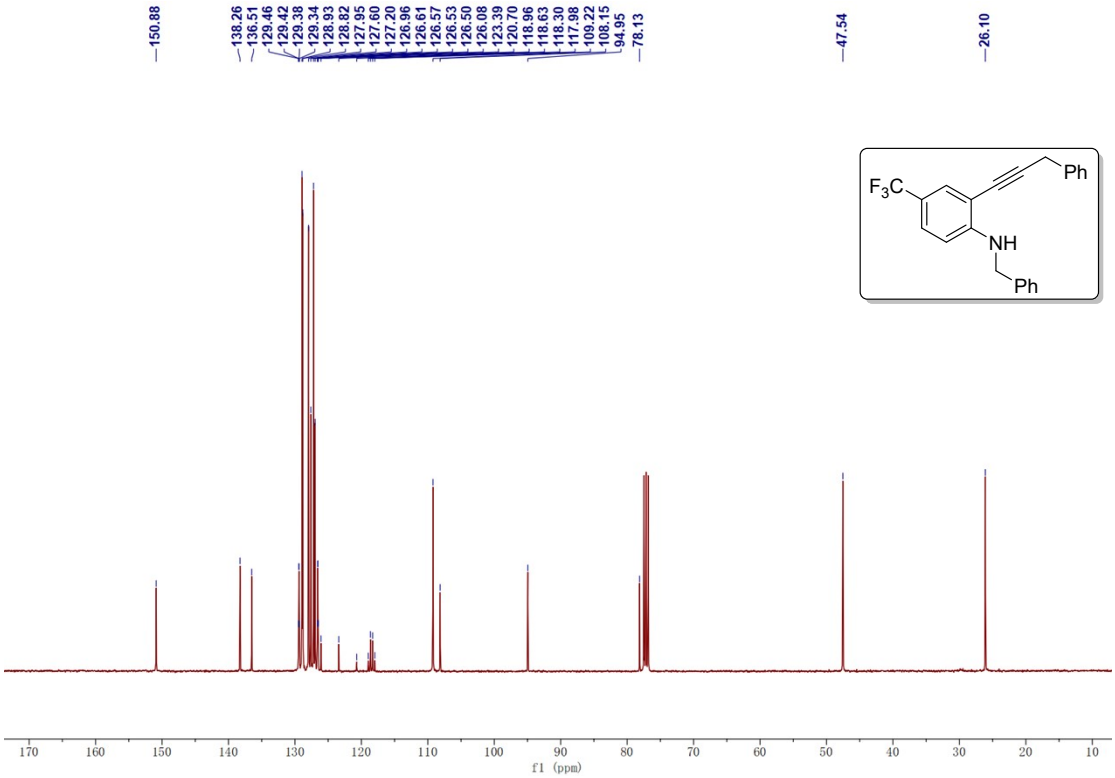
Supplementary Figure 18. ^{13}C NMR Spectrum of 1i (100 MHz, $CDCl_3$)



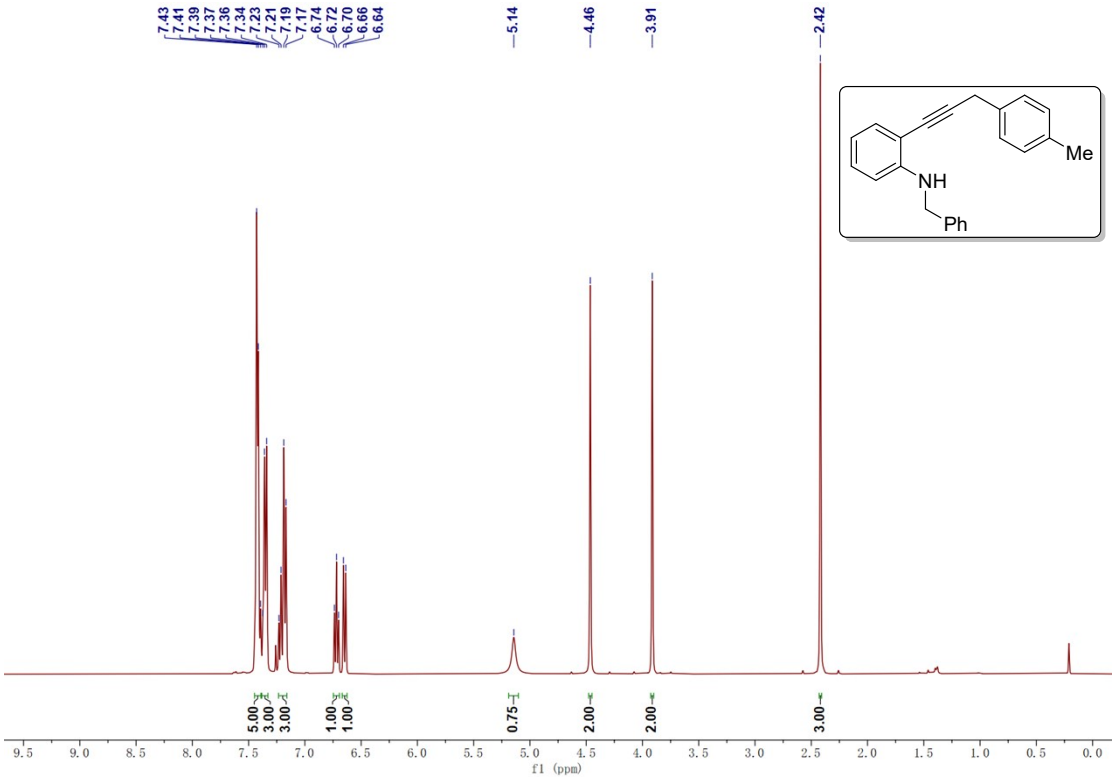
Supplementary Figure 19. ^{1}H NMR Spectrum of 1j (400 MHz, $CDCl_3$)



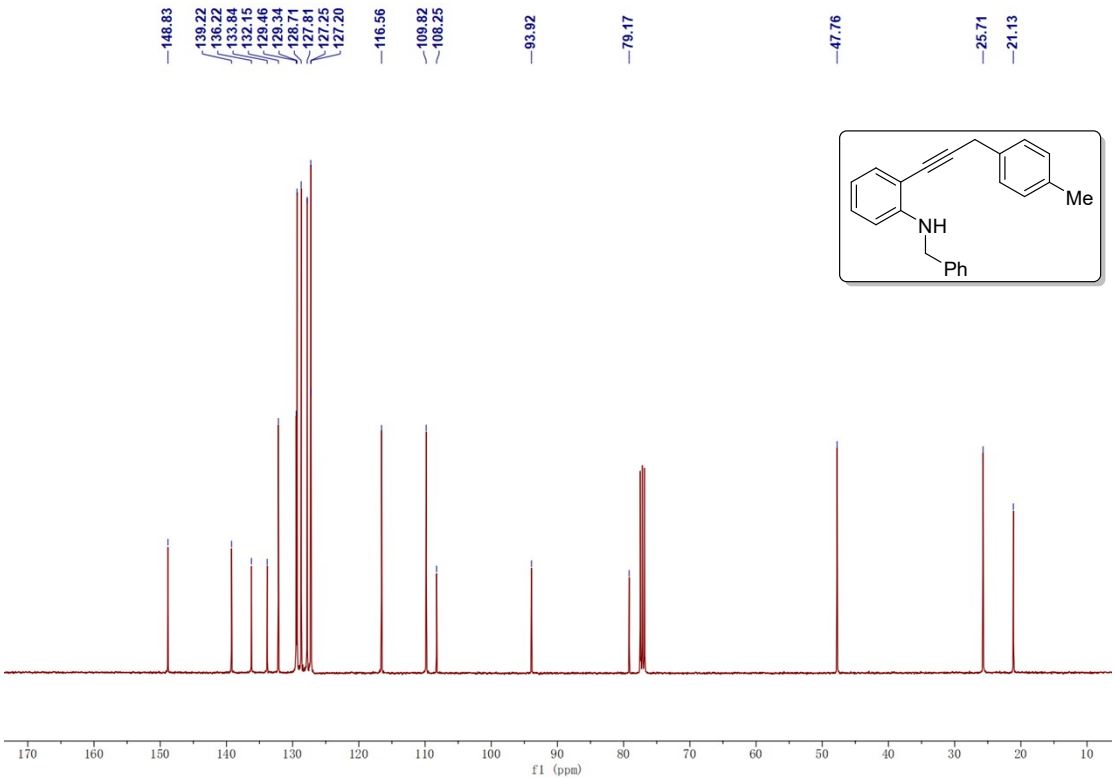
Supplementary Figure 20. ^{13}C NMR Spectrum of 1j (100 MHz, $CDCl_3$)



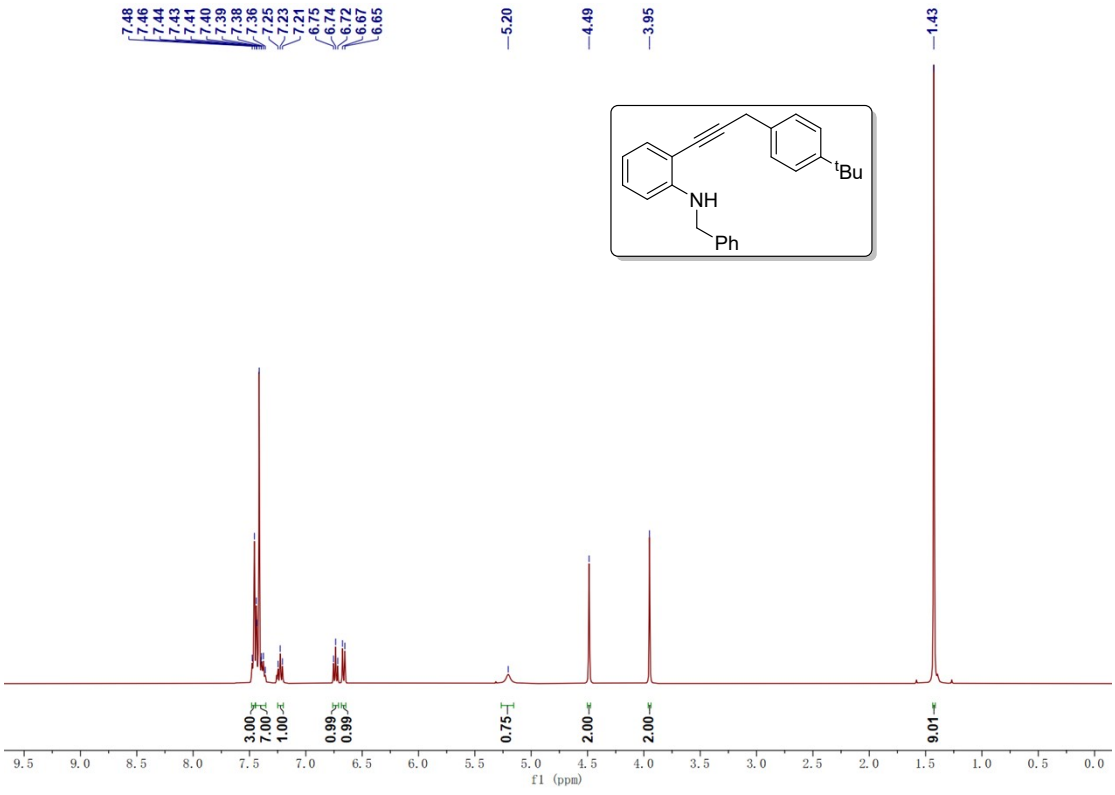
Supplementary Figure 21. ^{1}H NMR Spectrum of 1k (400 MHz, $CDCl_3$)



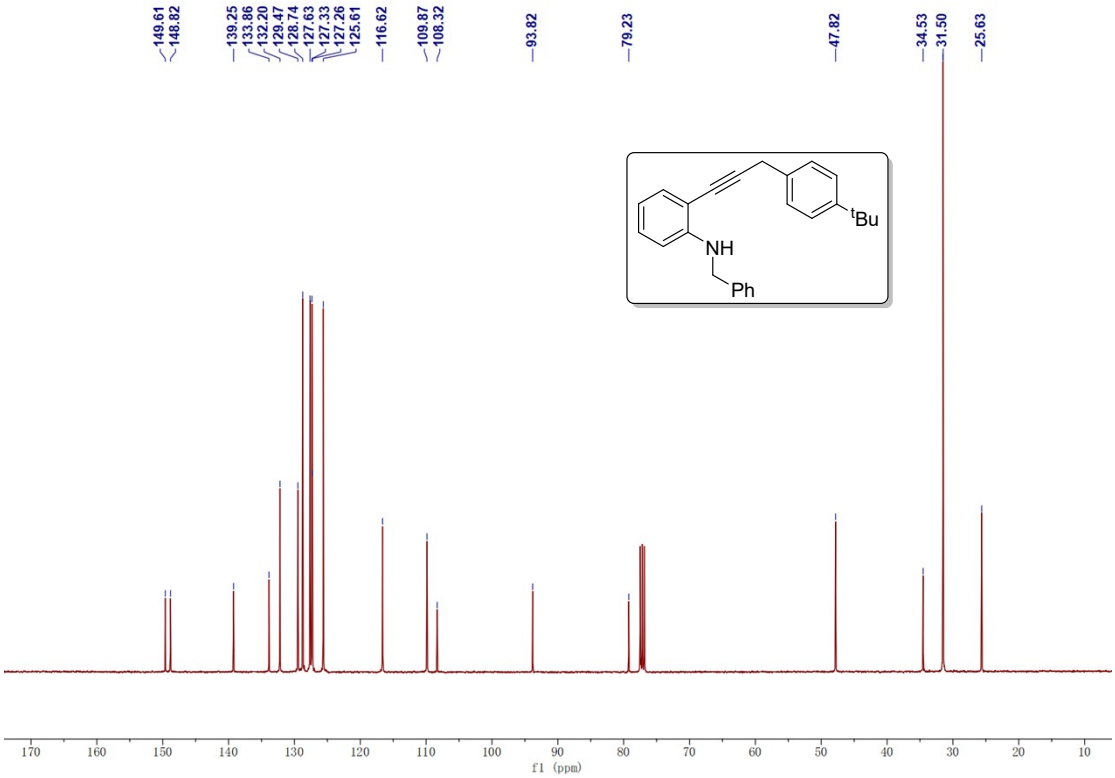
Supplementary Figure 22. ^{13}C NMR Spectrum of 1k (100 MHz, $CDCl_3$)



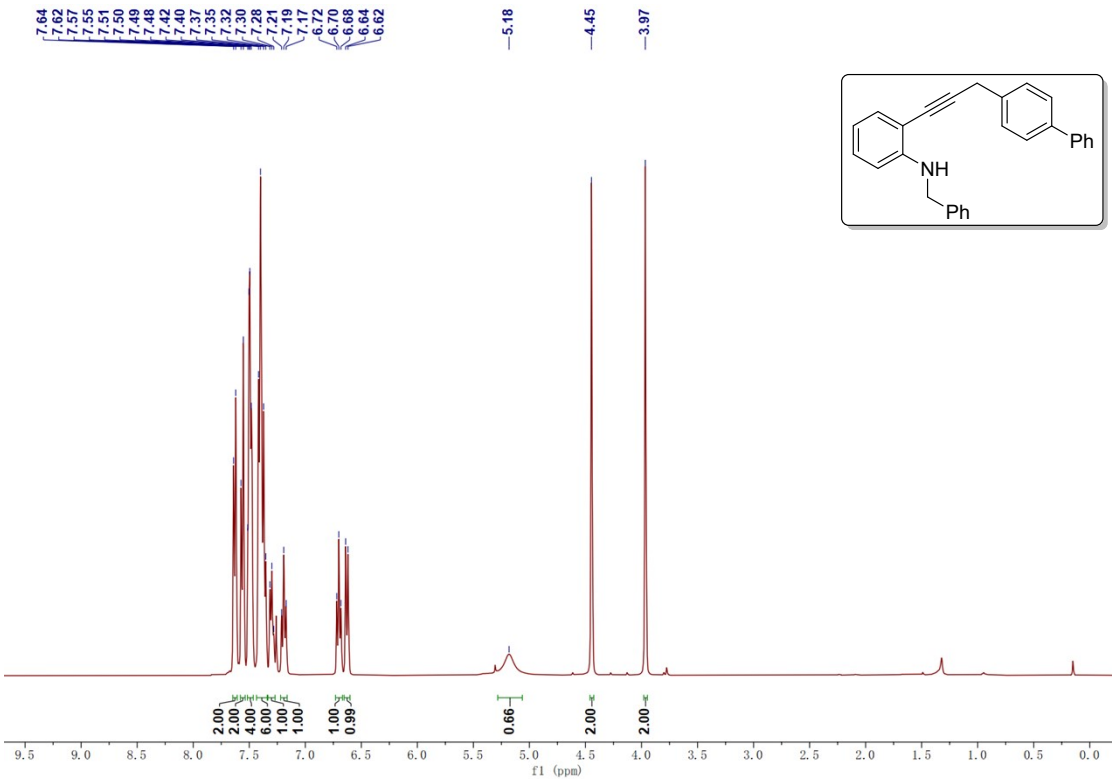
Supplementary Figure 23. ^{1}H NMR Spectrum of 1l (400 MHz, $CDCl_3$)



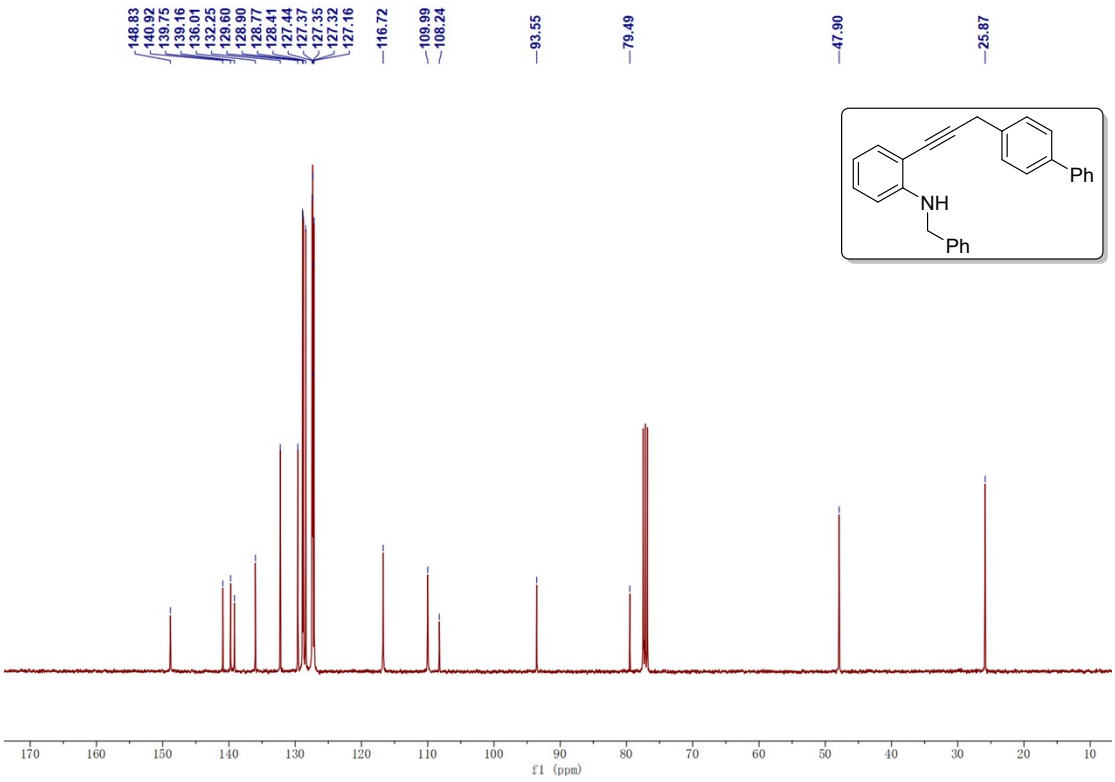
Supplementary Figure 24. ^{13}C NMR Spectrum of 1l (100 MHz, $CDCl_3$)



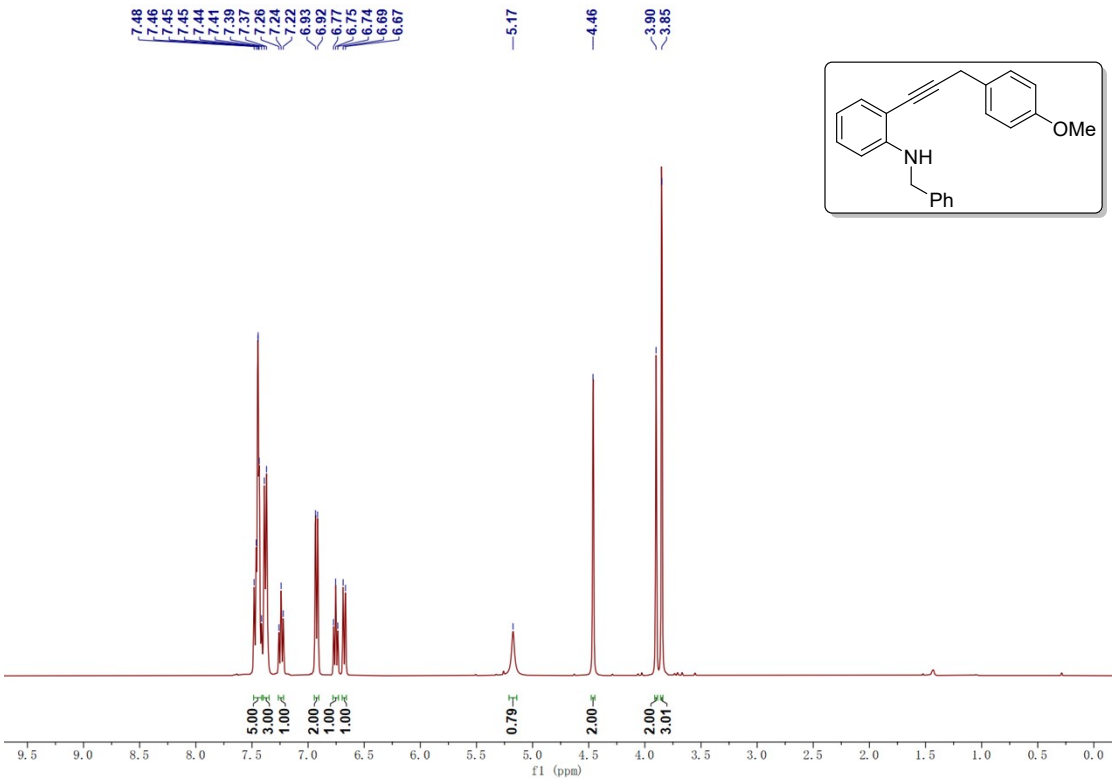
Supplementary Figure 25. ^{1}H NMR Spectrum of 1m (400 MHz, $CDCl_3$)



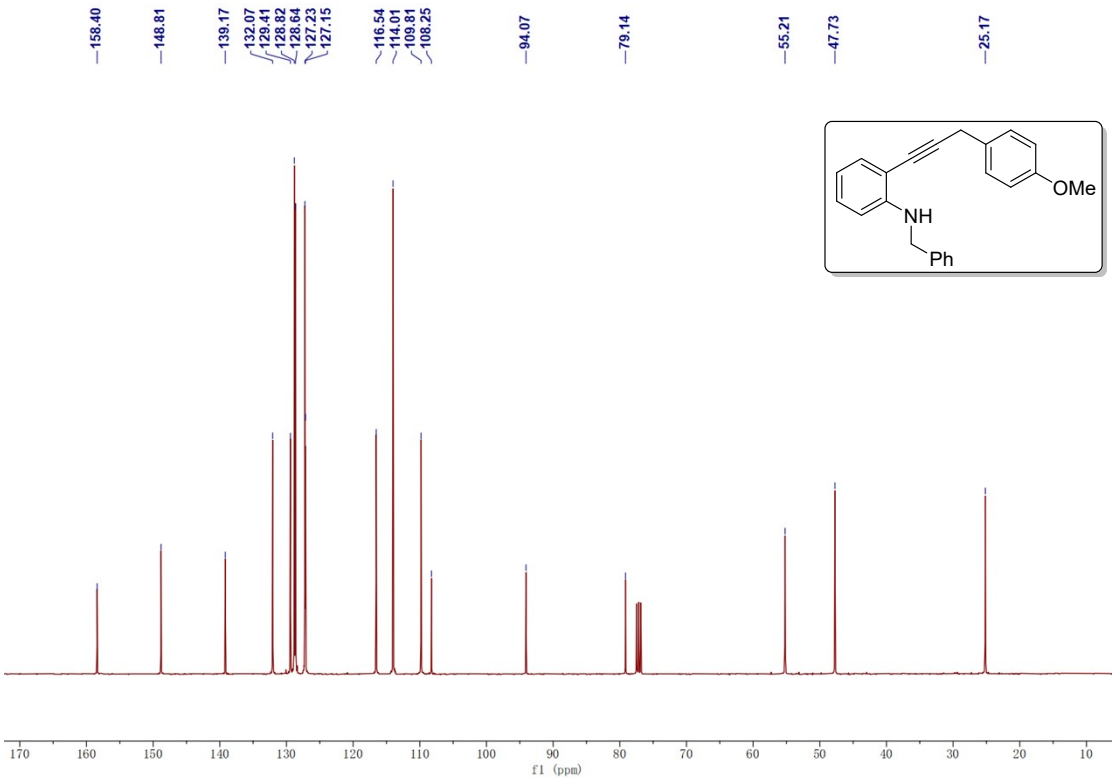
Supplementary Figure 26. ^{13}C NMR Spectrum of 1m (100 MHz, $CDCl_3$)



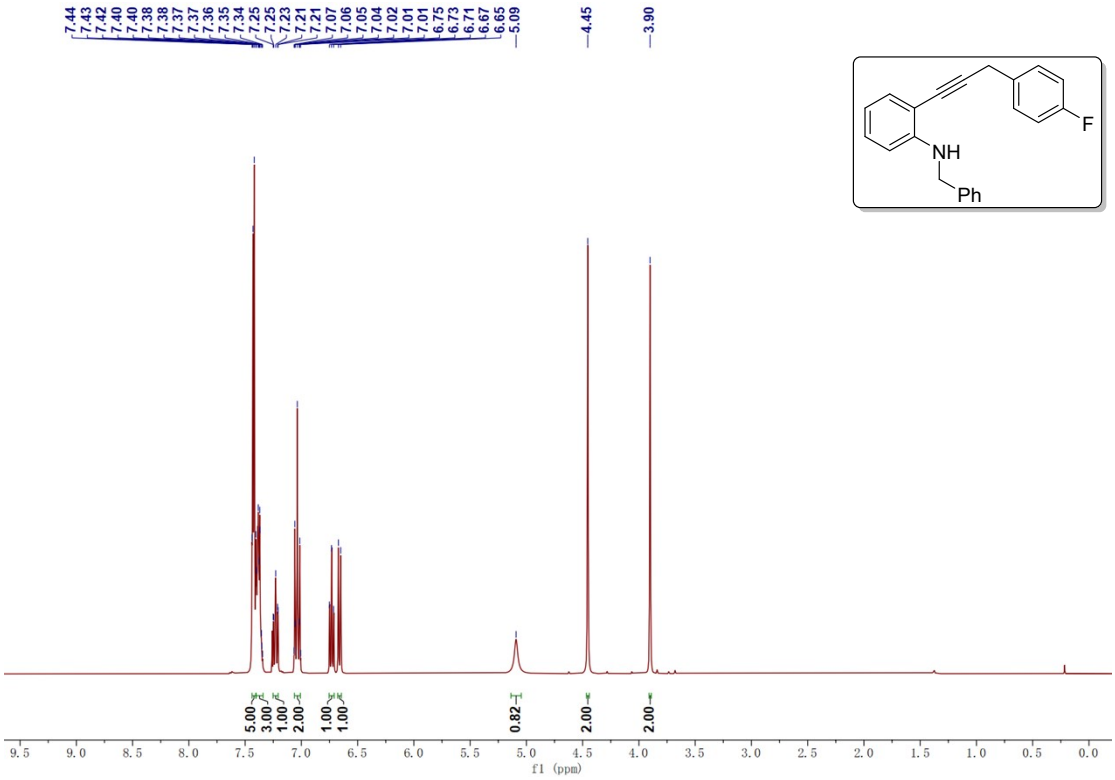
Supplementary Figure 27. ^{1}H NMR Spectrum of 1n (400 MHz, $CDCl_3$)



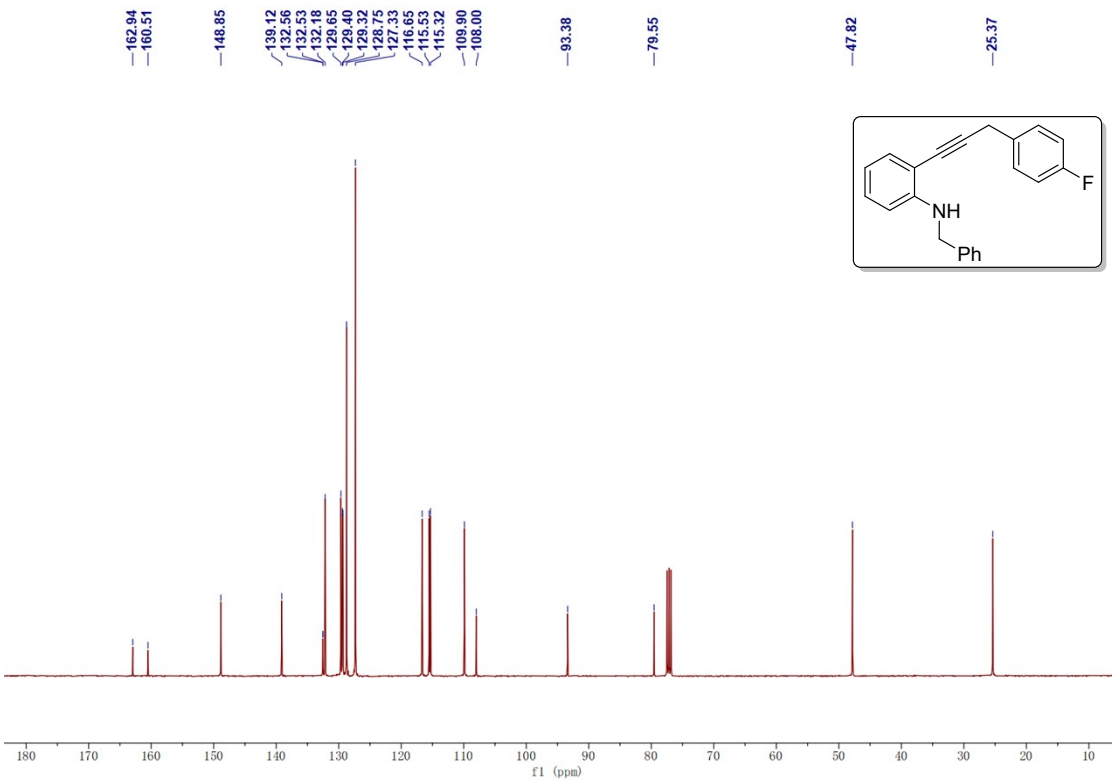
Supplementary Figure 28. ^{13}C NMR Spectrum of 1n (100 MHz, $CDCl_3$)



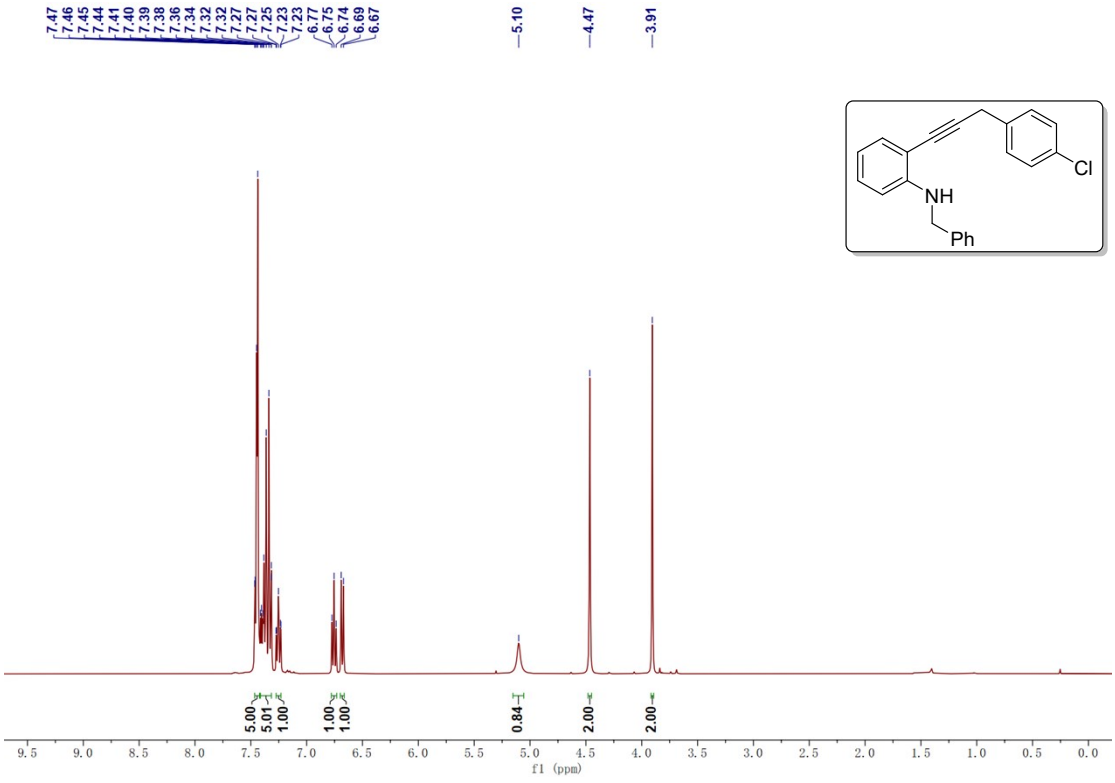
Supplementary Figure 29. ^{1}H NMR Spectrum of 1o (400 MHz, $CDCl_3$)



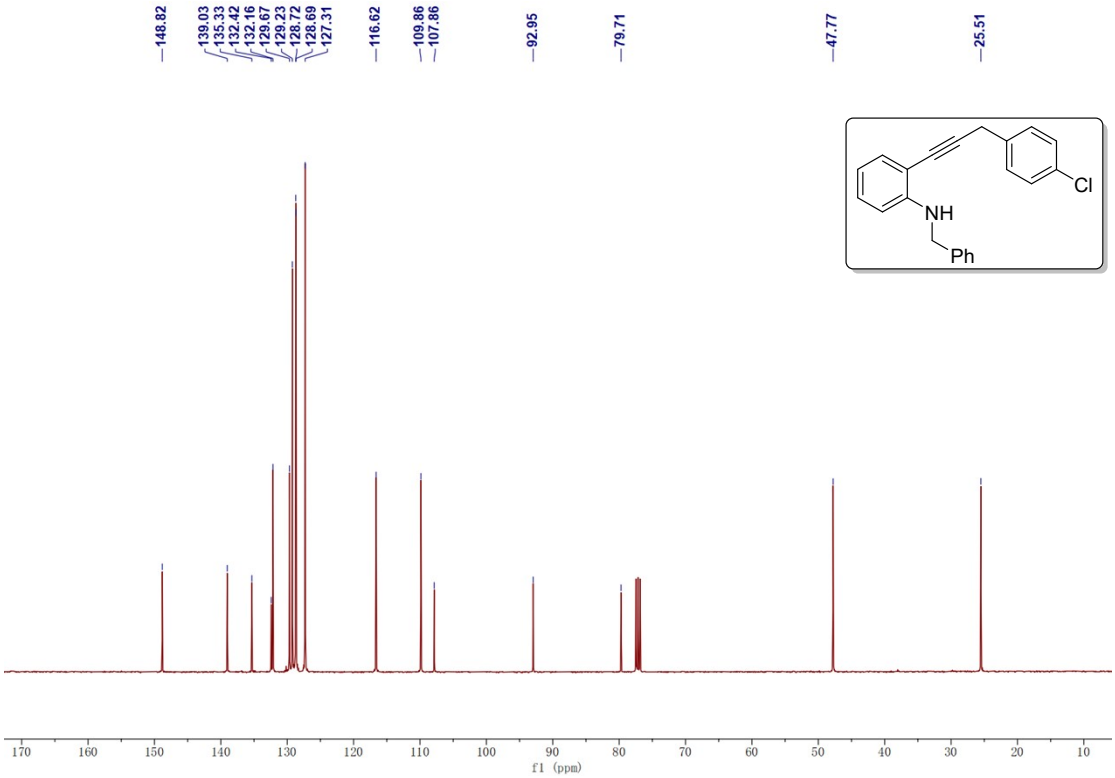
Supplementary Figure 30. ^{13}C NMR Spectrum of 1o (100 MHz, $CDCl_3$)



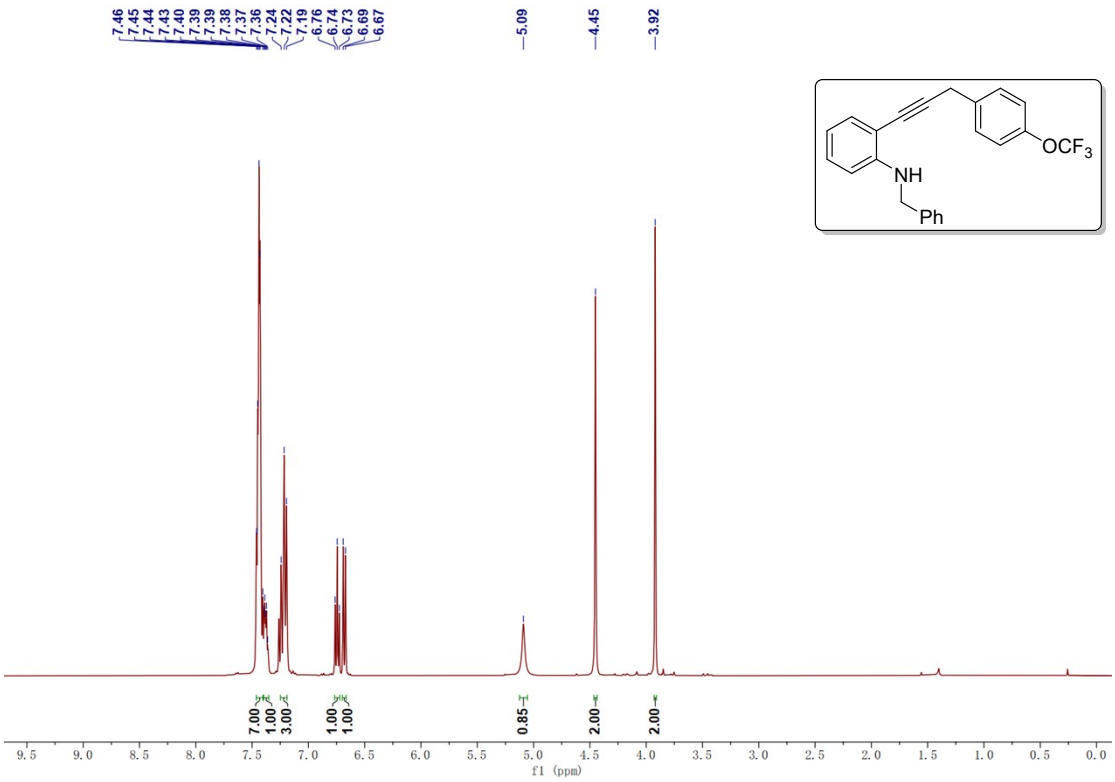
Supplementary Figure 31. ^{1}H NMR Spectrum of 1p (400 MHz, $CDCl_3$)



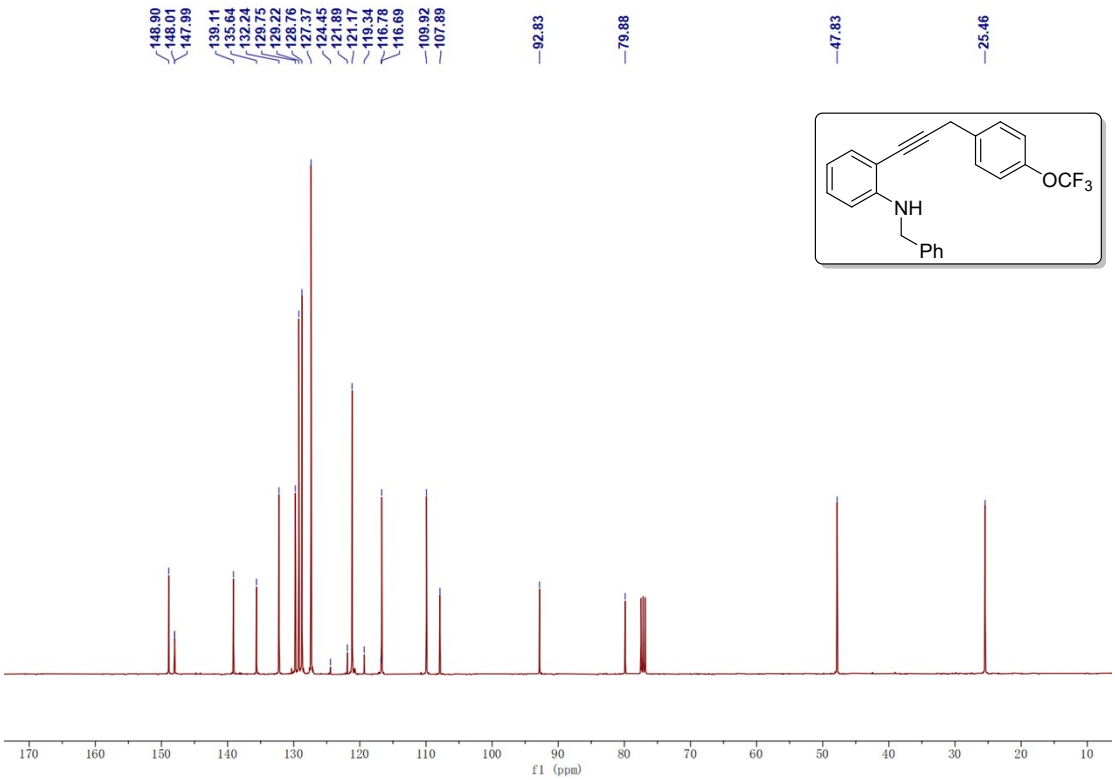
Supplementary Figure 32. ^{13}C NMR Spectrum of 1p (100 MHz, $CDCl_3$)



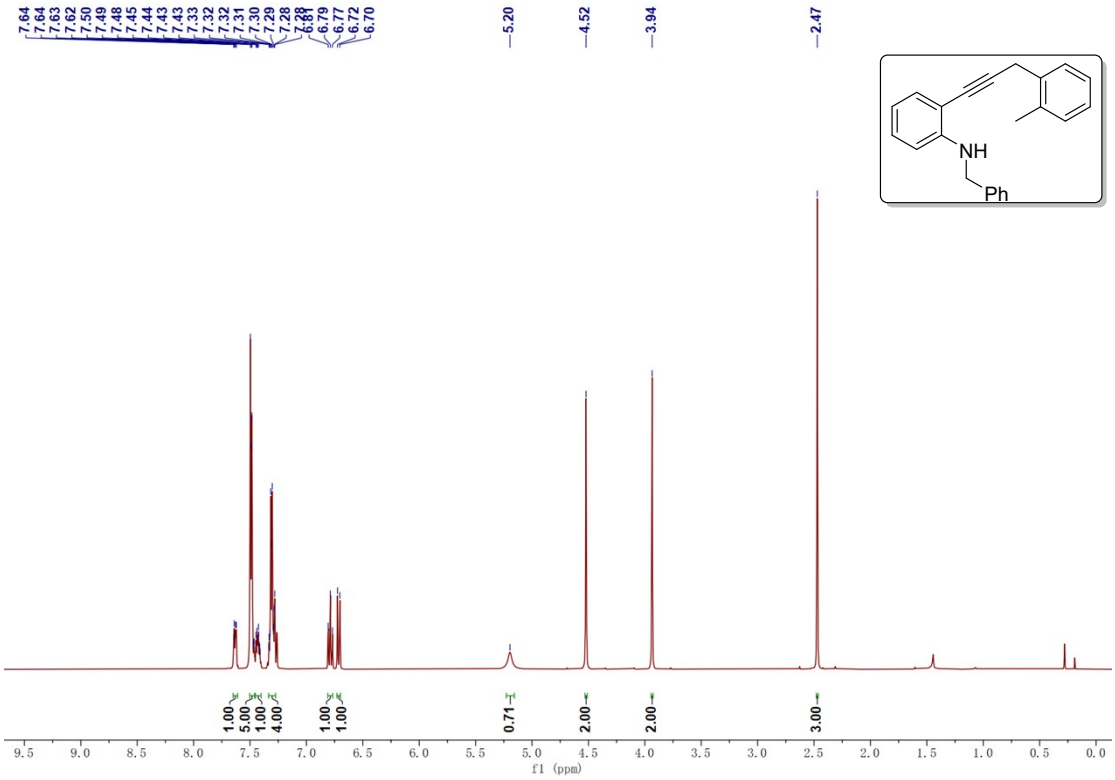
Supplementary Figure 33. ^{1}H NMR Spectrum of 1q (400 MHz, $CDCl_3$)



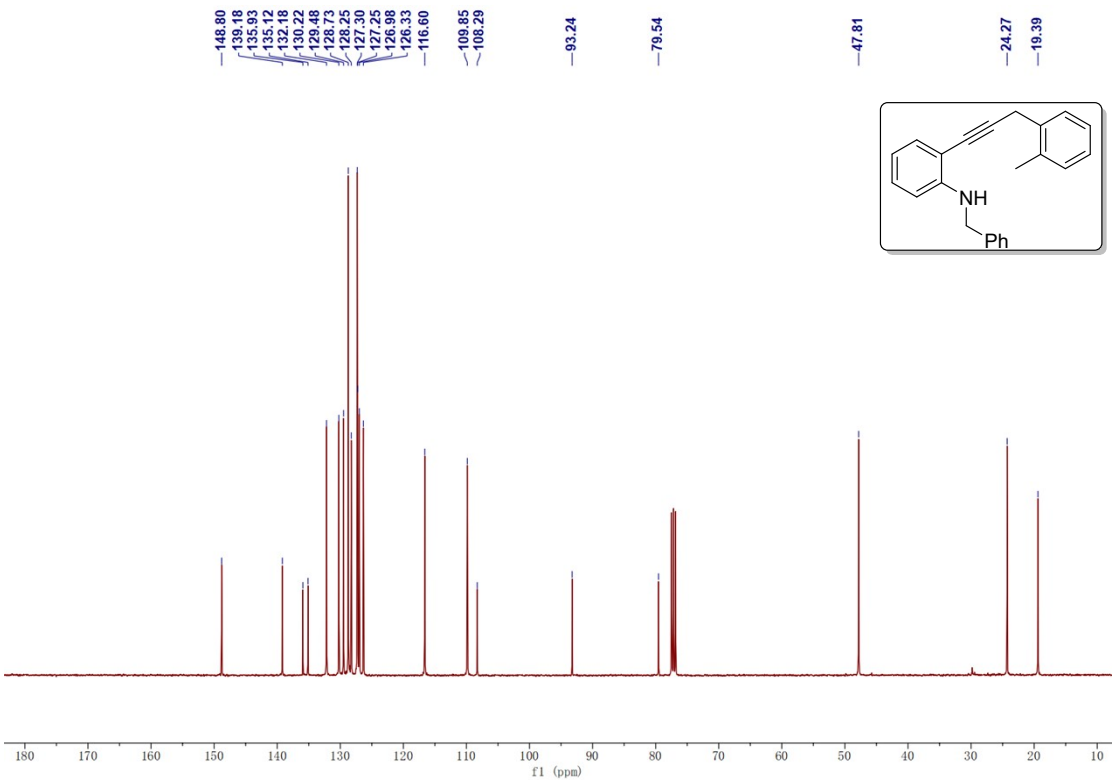
Supplementary Figure 34. ^{13}C NMR Spectrum of 1q (100 MHz, $CDCl_3$)



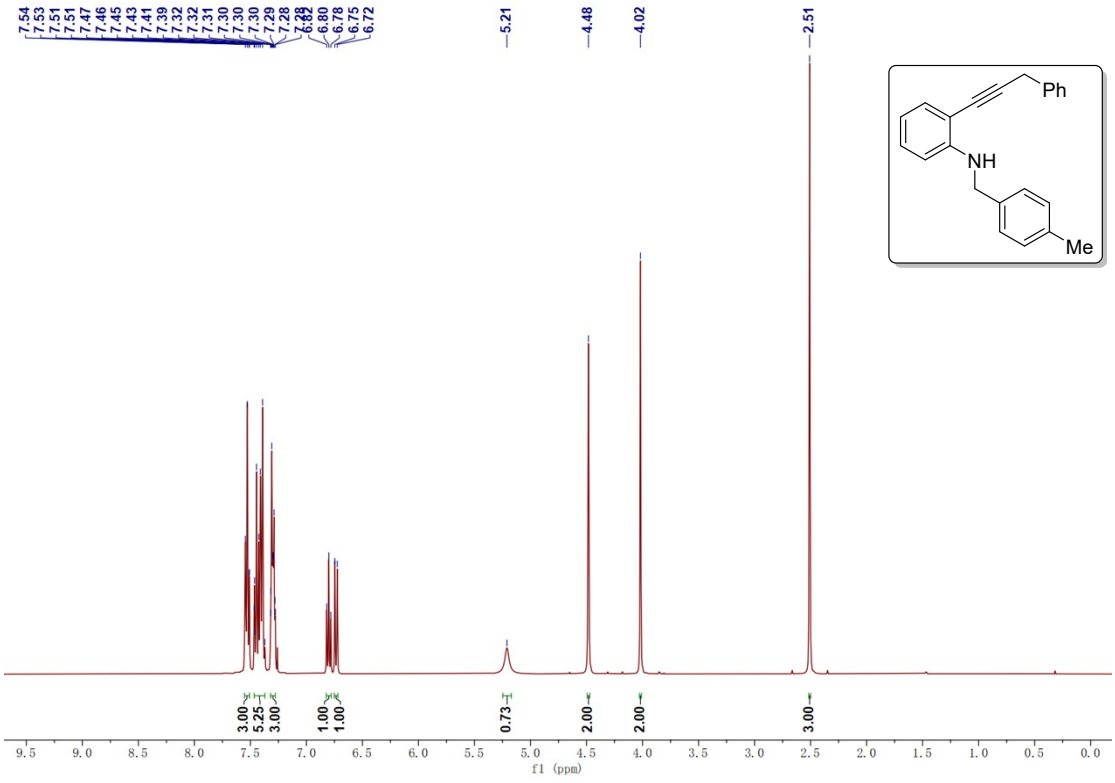
Supplementary Figure 35. ^{1}H NMR Spectrum of 1r (400 MHz, $CDCl_3$)



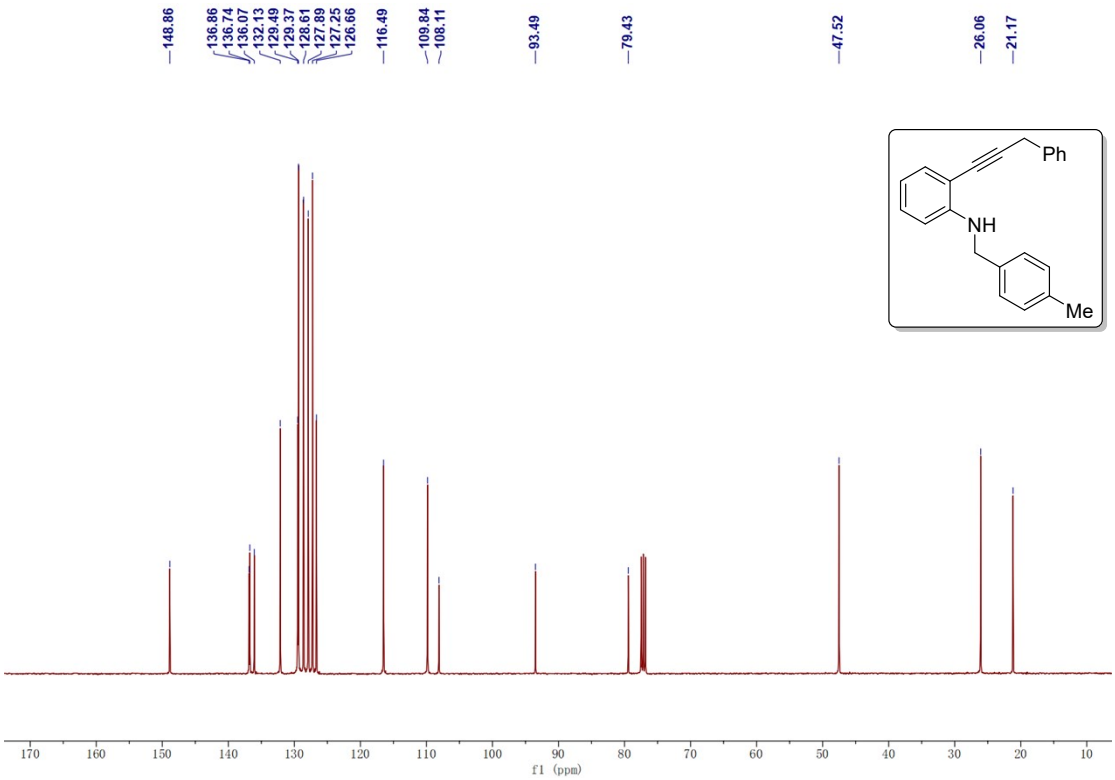
Supplementary Figure 36. ^{13}C NMR Spectrum of 1r (100 MHz, $CDCl_3$)



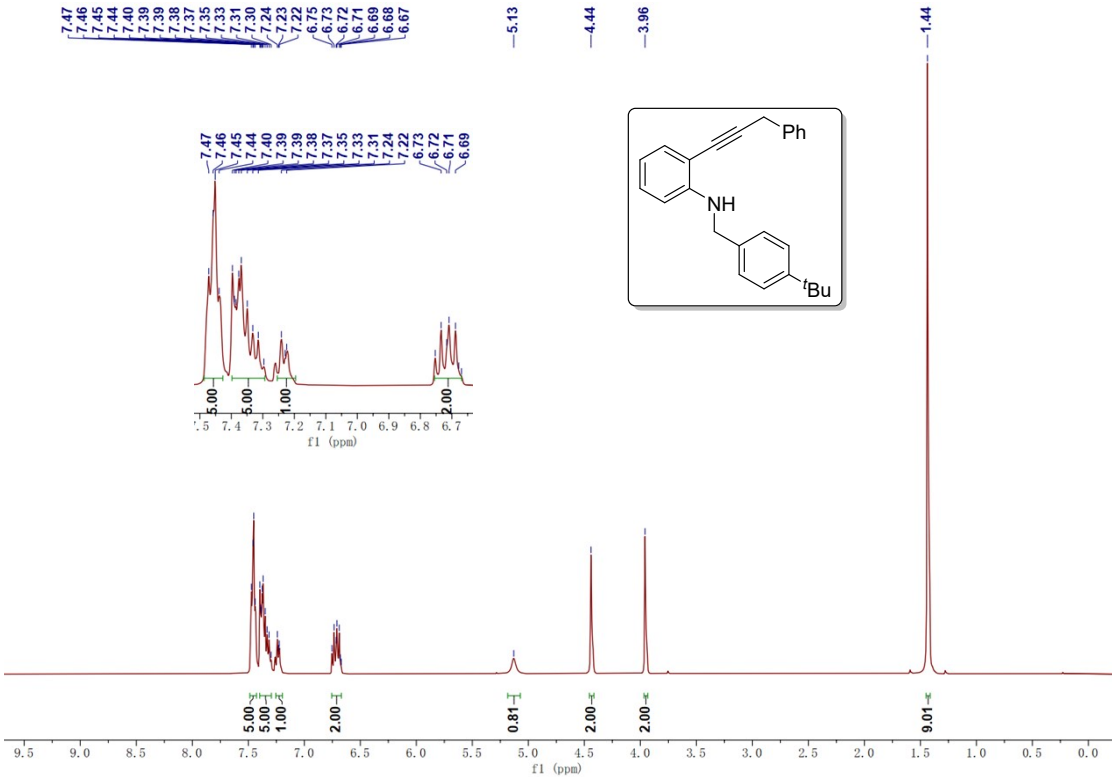
Supplementary Figure 37. ^{1}H NMR Spectrum of 1s (400 MHz, $CDCl_3$)



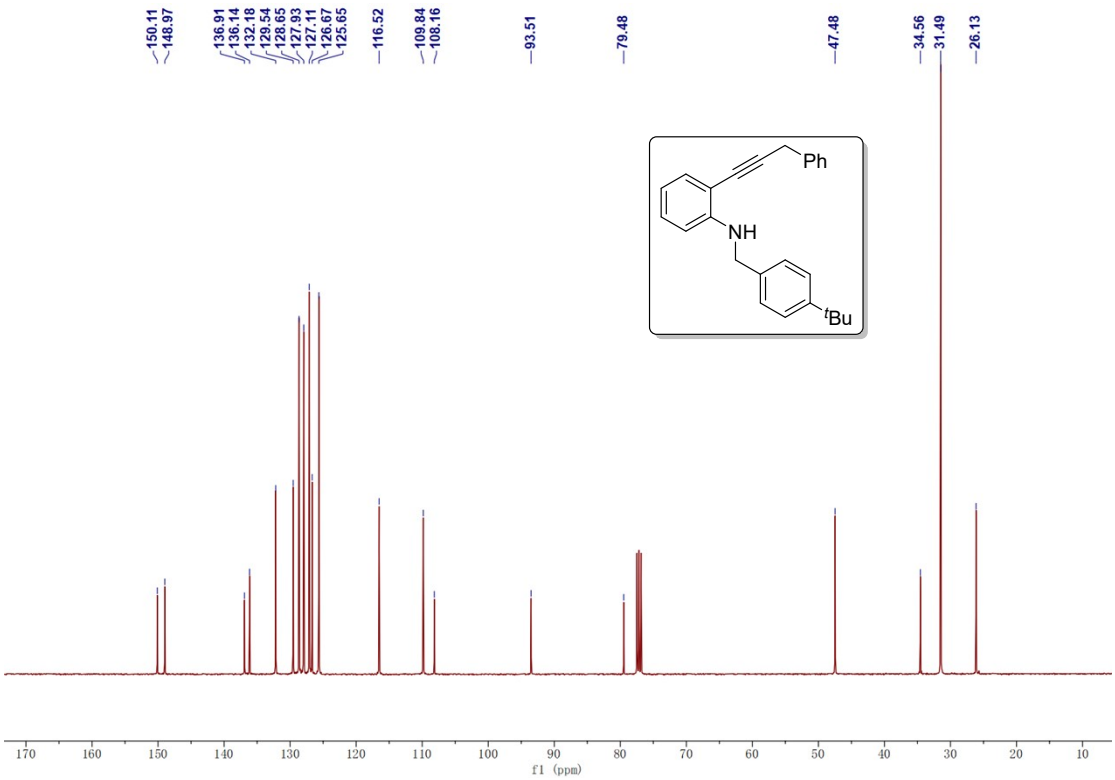
Supplementary Figure 38. ^{13}C NMR Spectrum of 1s (100 MHz, $CDCl_3$)



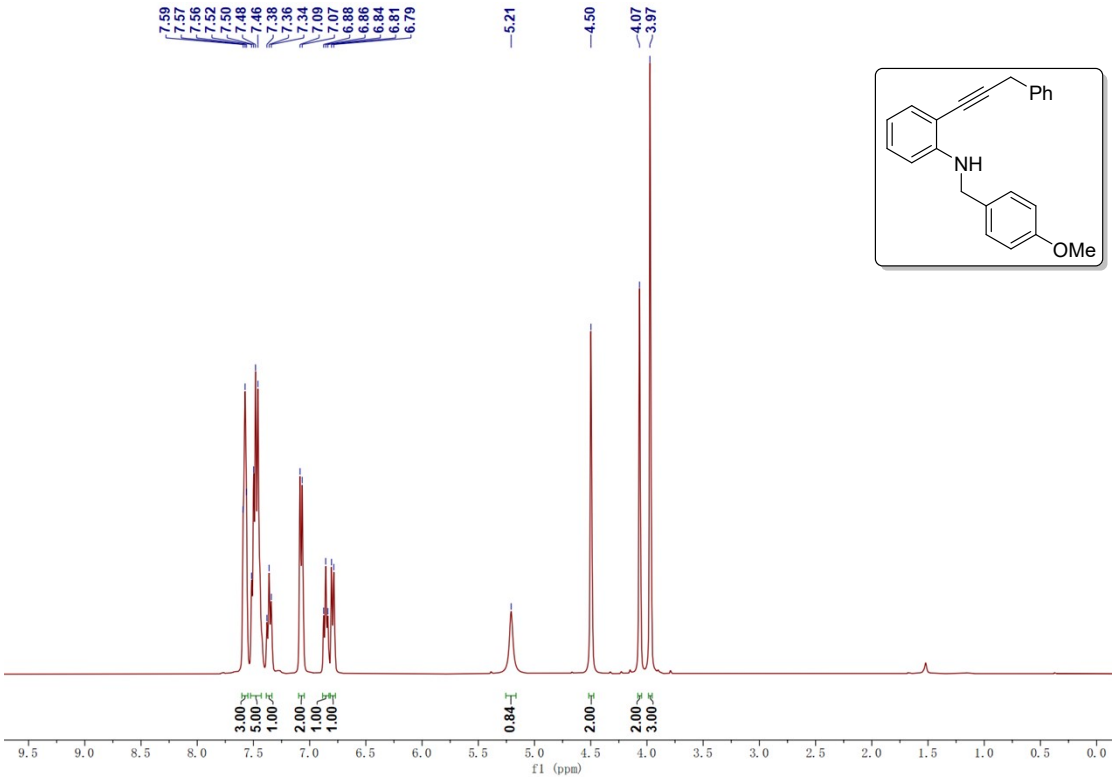
Supplementary Figure 39. ^{1}H NMR Spectrum of 1t (400 MHz, $CDCl_3$)



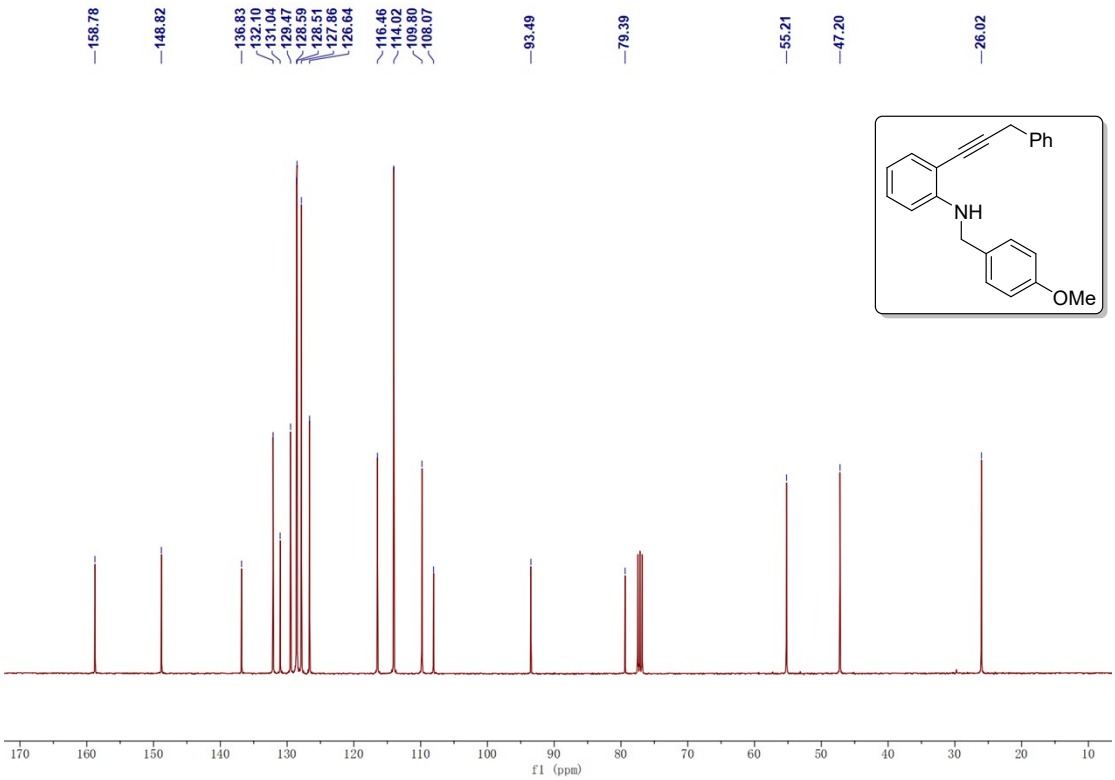
Supplementary Figure 40. ^{13}C NMR Spectrum of 1t (100 MHz, $CDCl_3$)



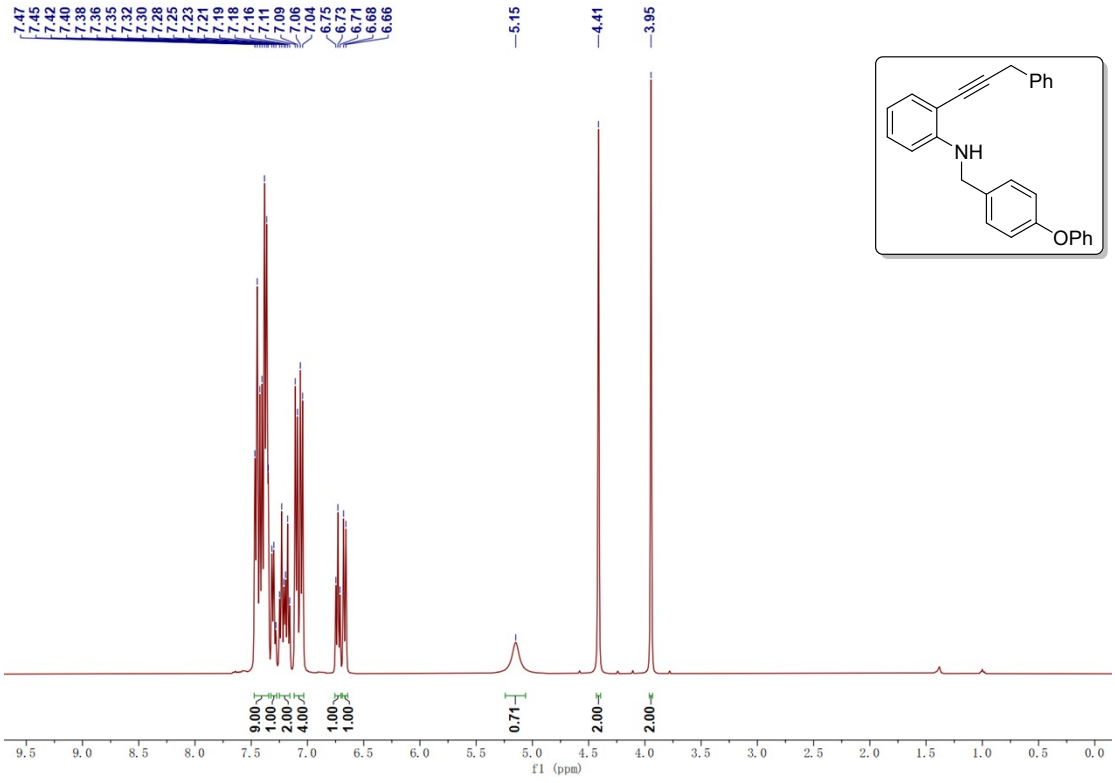
Supplementary Figure 41. ^{1}H NMR Spectrum of 1u (400 MHz, $CDCl_3$)



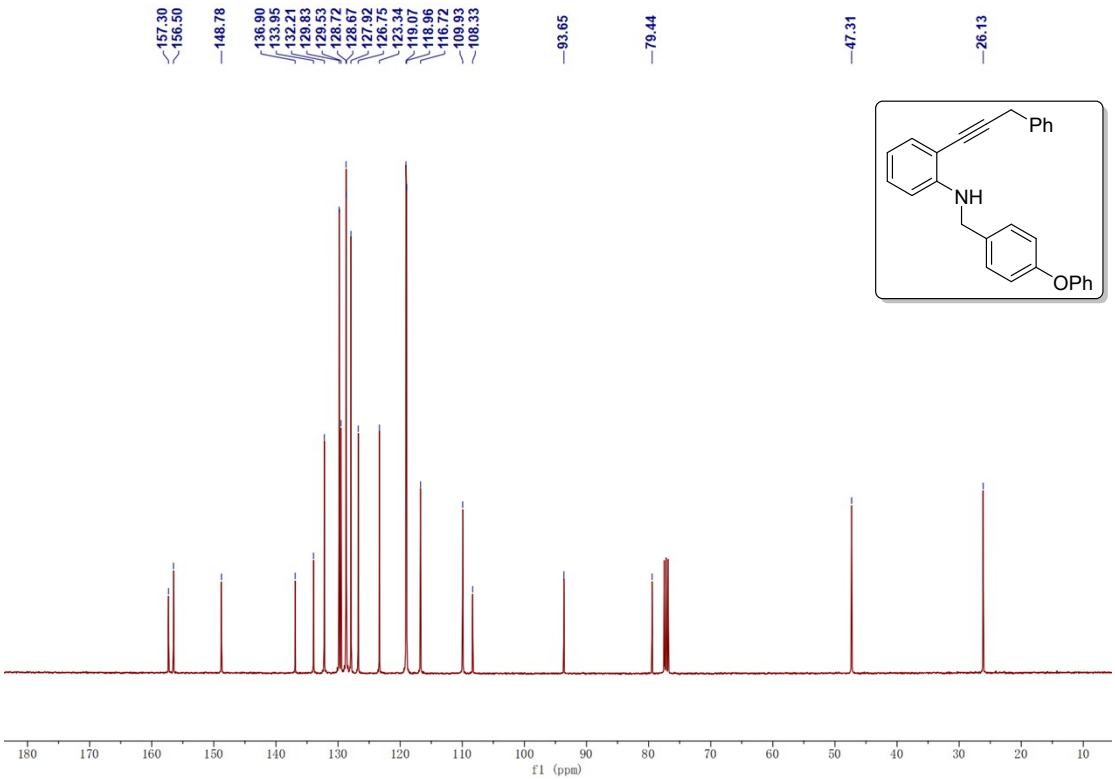
Supplementary Figure 42. ^{13}C NMR Spectrum of u(100 MHz, $CDCl_3$)



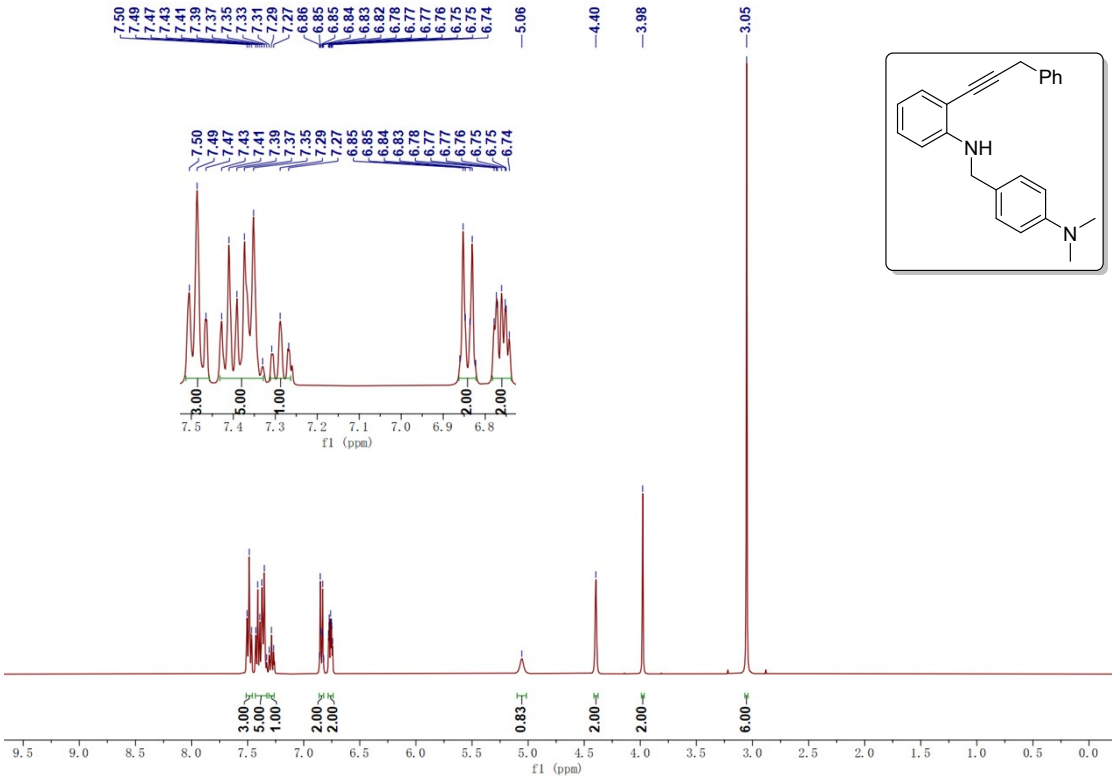
Supplementary Figure 43. ^{1}H NMR Spectrum of 1v (400 MHz, $CDCl_3$)



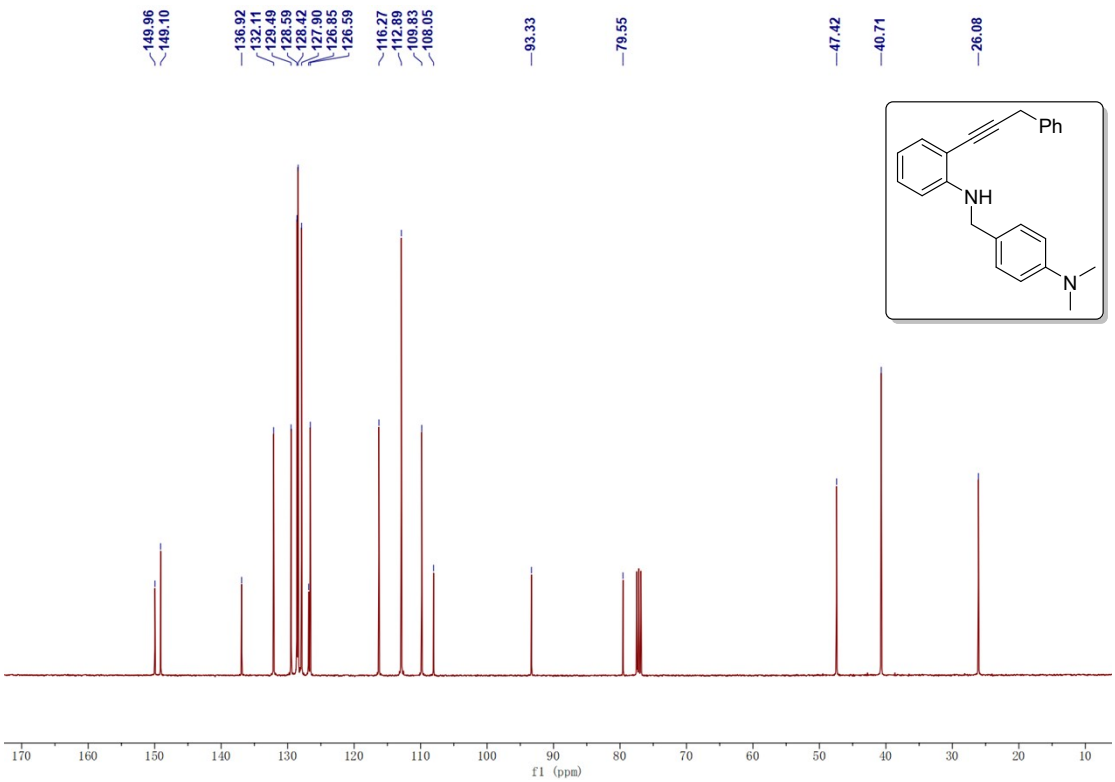
Supplementary Figure 44. ^{13}C NMR Spectrum of 1v (100 MHz, $CDCl_3$)



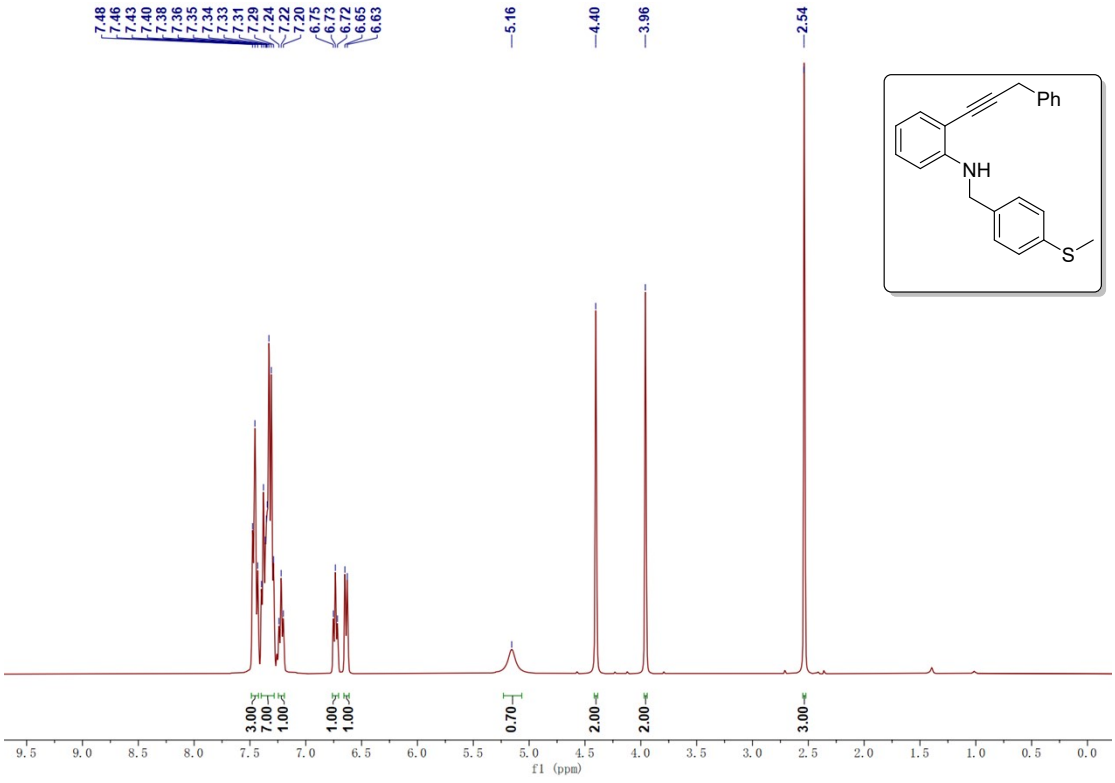
Supplementary Figure 45. ^{1}H NMR Spectrum of 1w (400 MHz, $CDCl_3$)



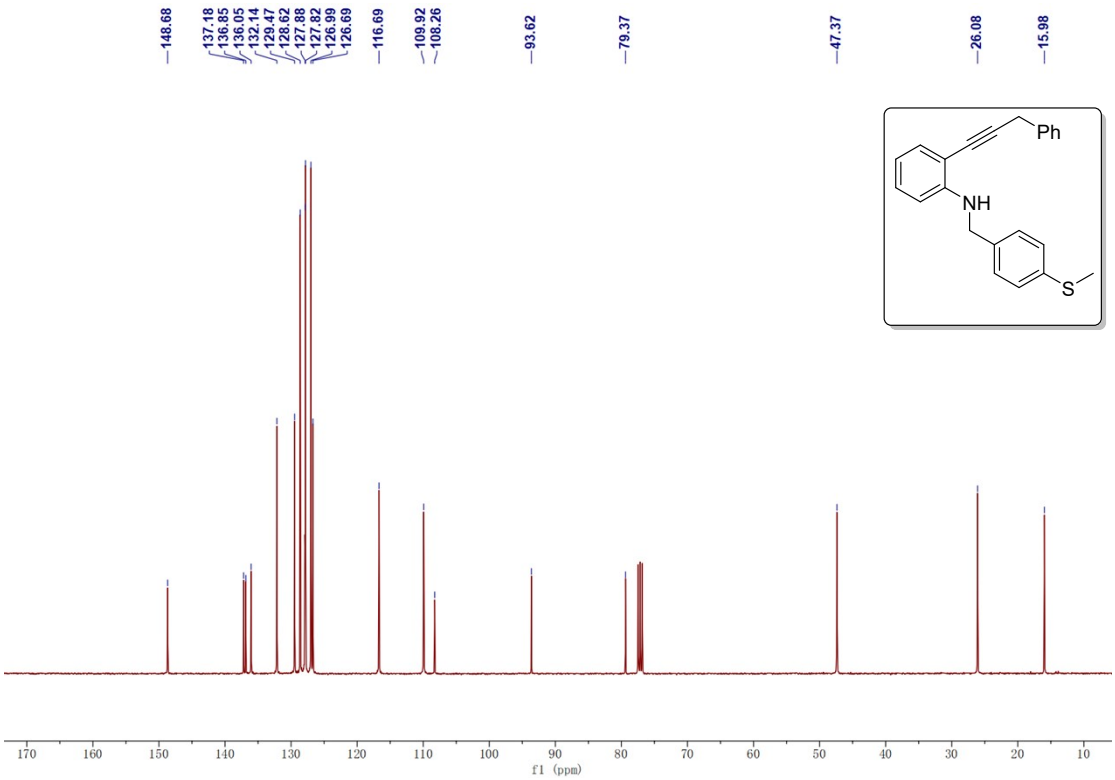
Supplementary Figure 46. ^{13}C NMR Spectrum of 1w (100 MHz, $CDCl_3$)



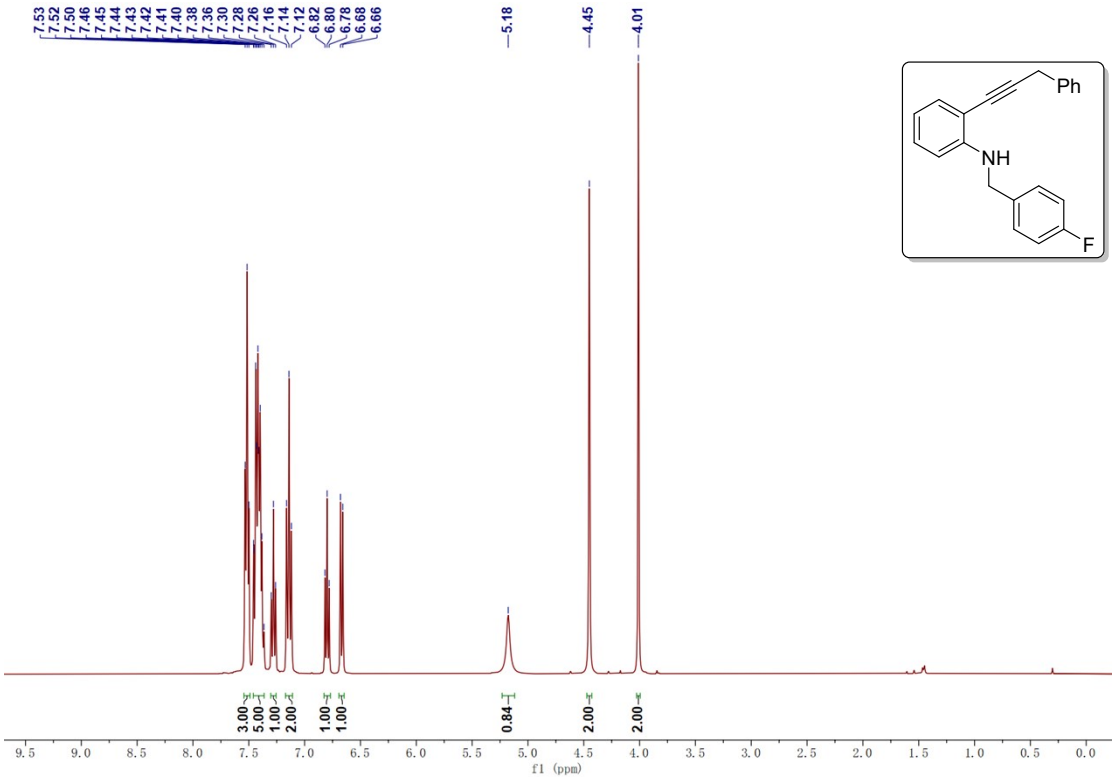
Supplementary Figure 47. ^{1}H NMR Spectrum of 1x (400 MHz, $CDCl_3$)



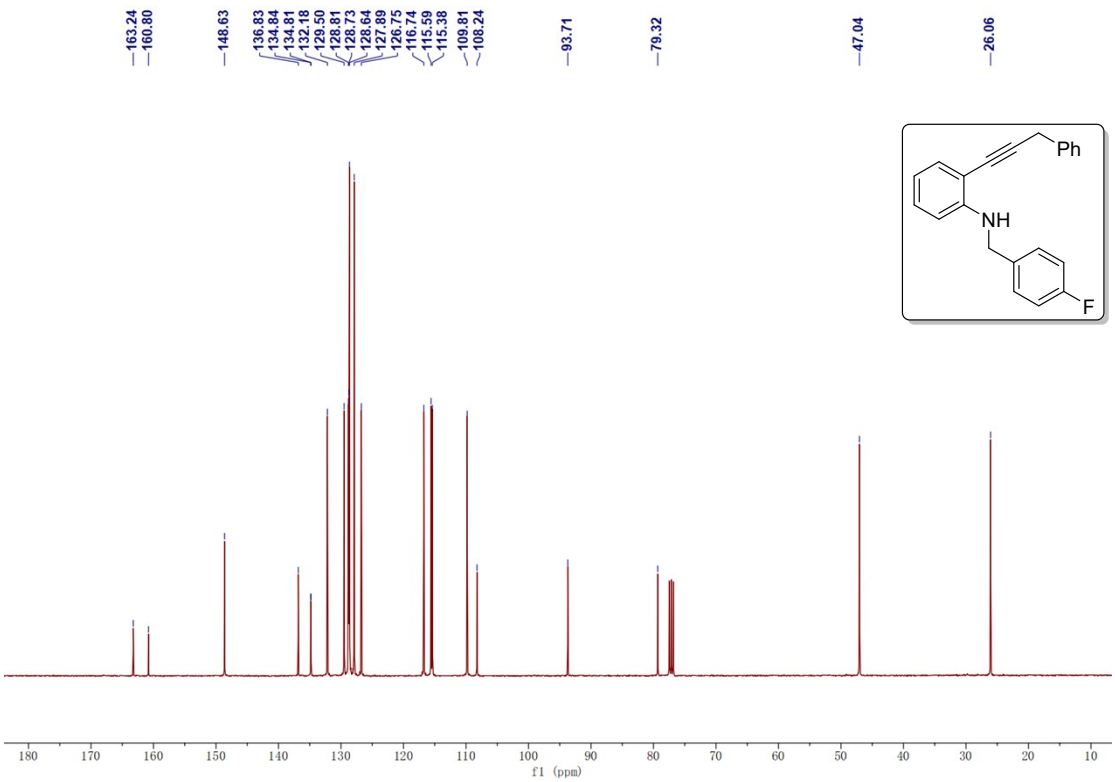
Supplementary Figure 48. ^{13}C NMR Spectrum of 1x (100 MHz, $CDCl_3$)



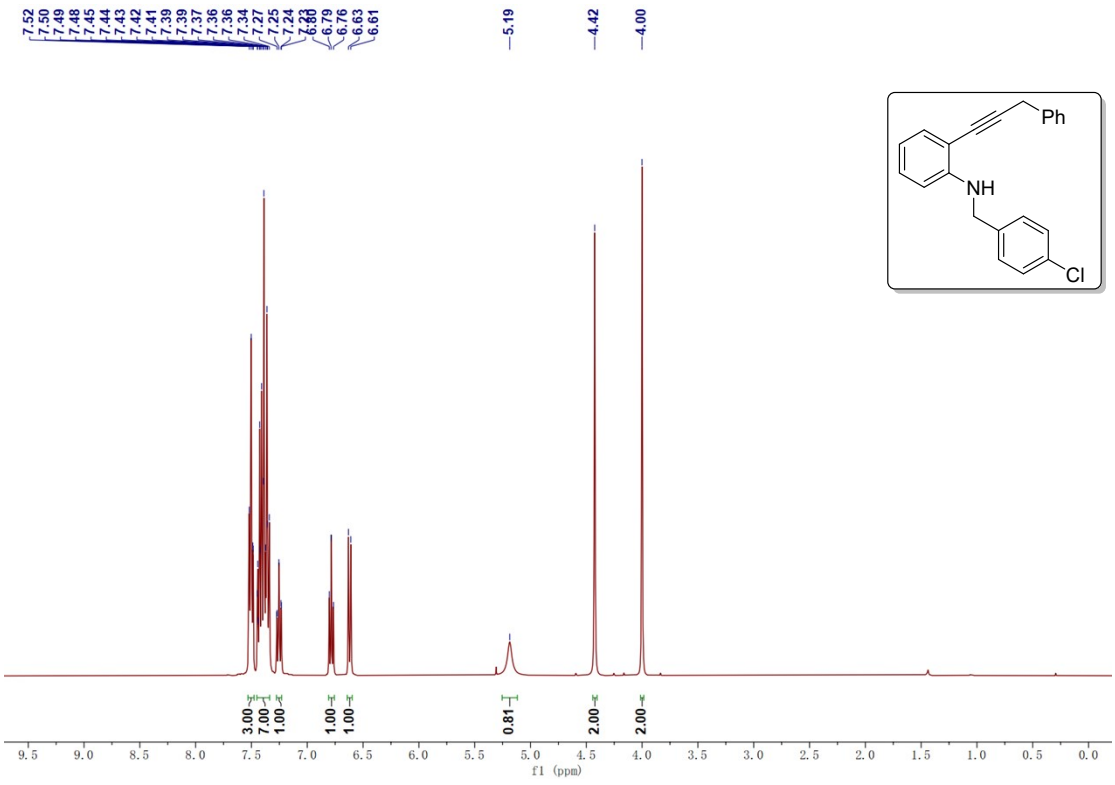
Supplementary Figure 49. ^{1}H NMR Spectrum of 1y (400 MHz, $CDCl_3$)



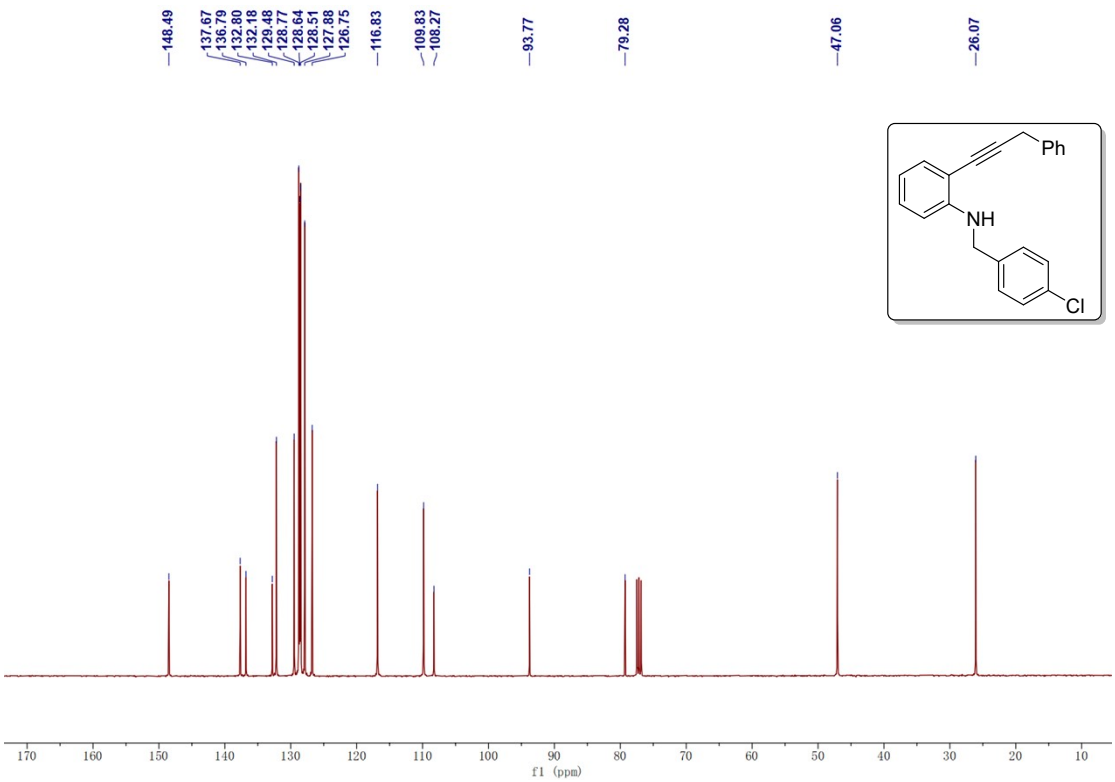
Supplementary Figure 50. ^{13}C NMR Spectrum of 1y (100 MHz, $CDCl_3$)



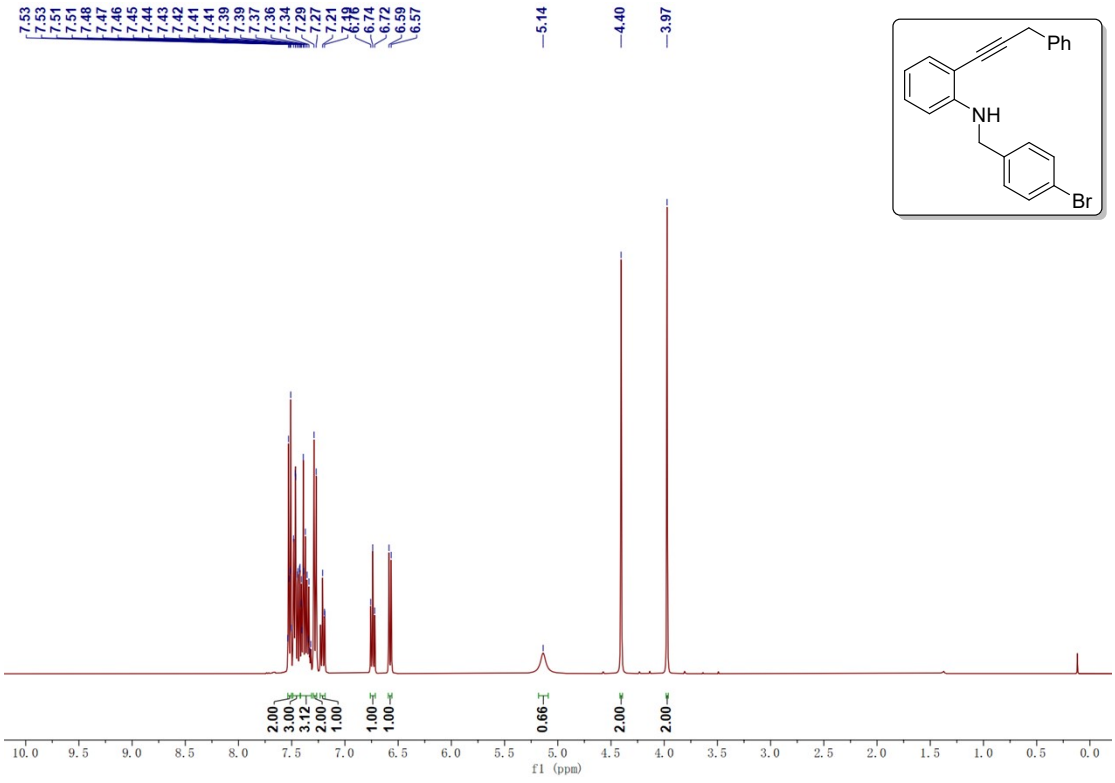
Supplementary Figure 51. ^{1}H NMR Spectrum of 1z (400 MHz, $CDCl_3$)



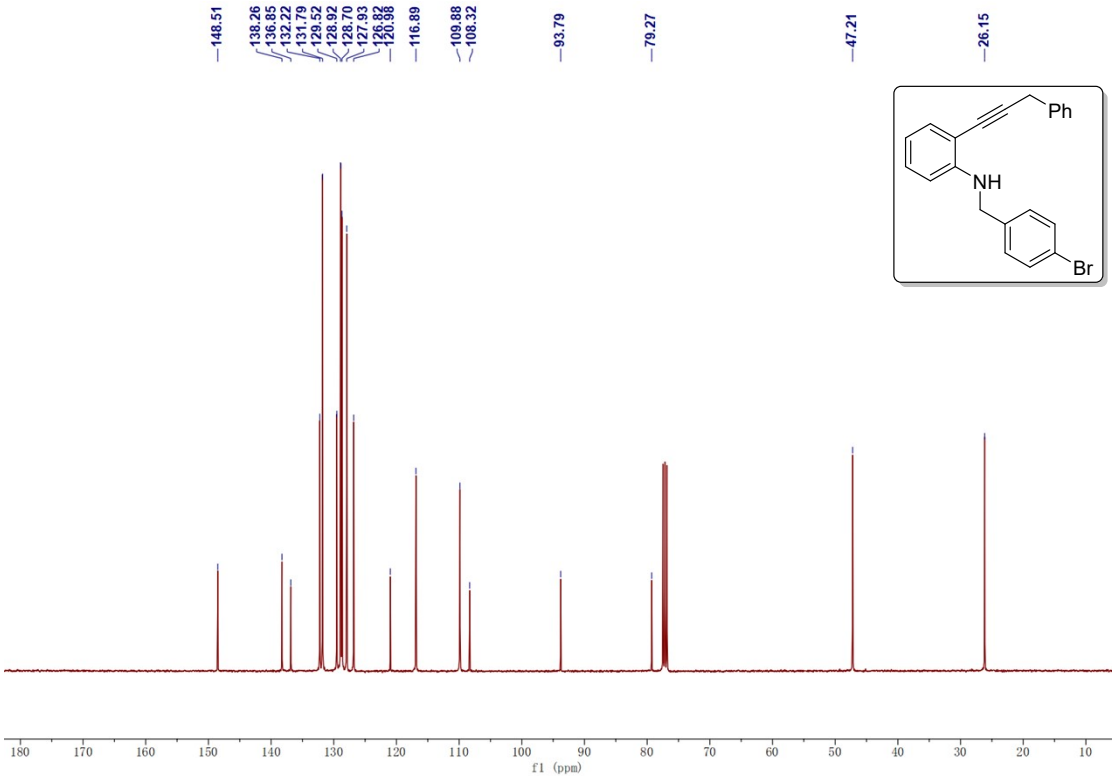
Supplementary Figure 52. ^{13}C NMR Spectrum of 1z (100 MHz, $CDCl_3$)



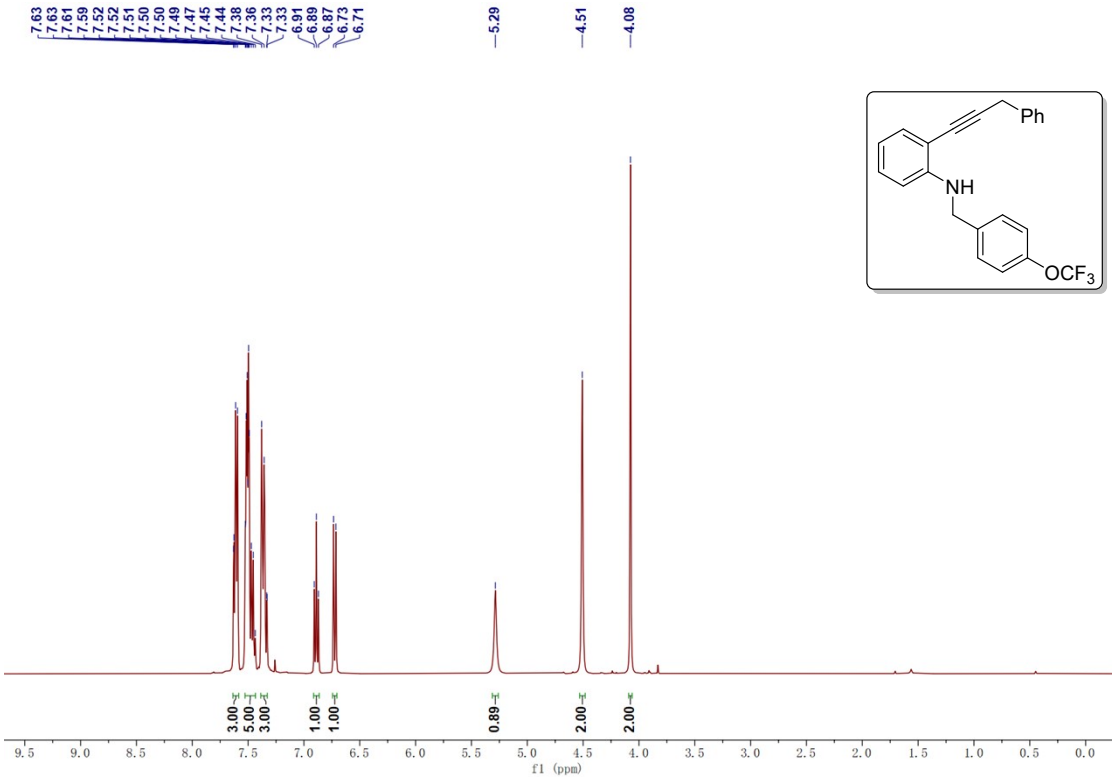
Supplementary Figure 53. ^{1}H NMR Spectrum of 1A (400 MHz, $CDCl_3$)



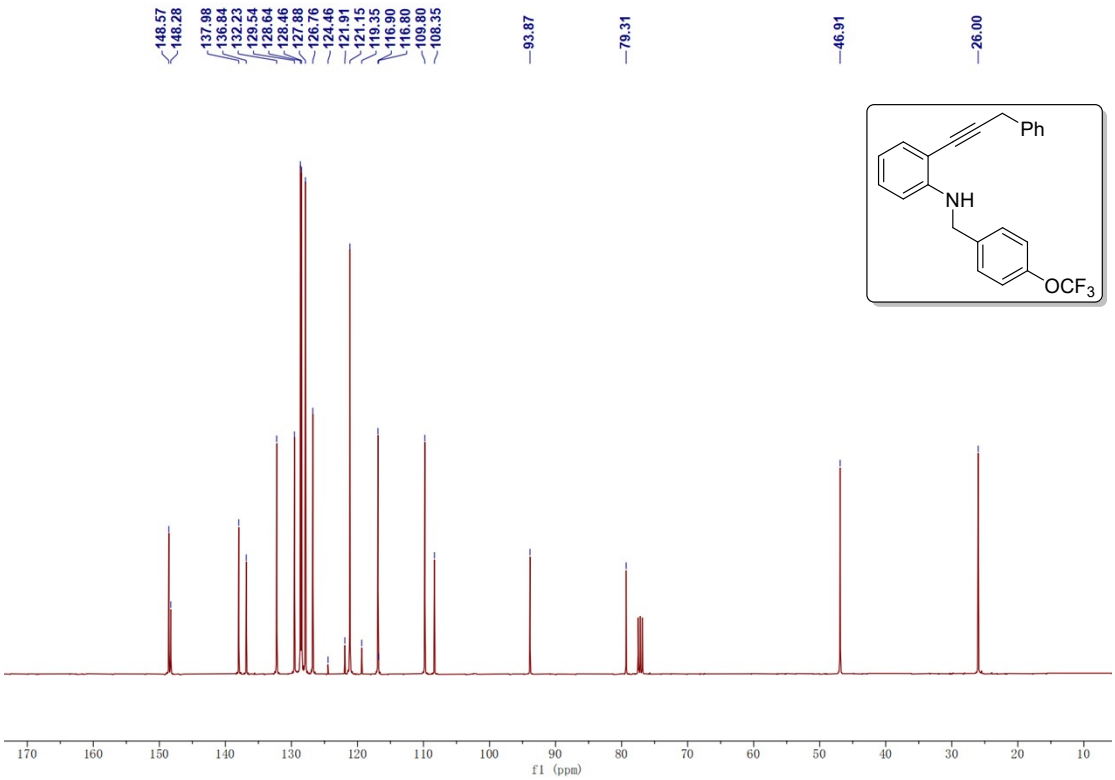
Supplementary Figure 54. ^{13}C NMR Spectrum of 1A (100 MHz, $CDCl_3$)



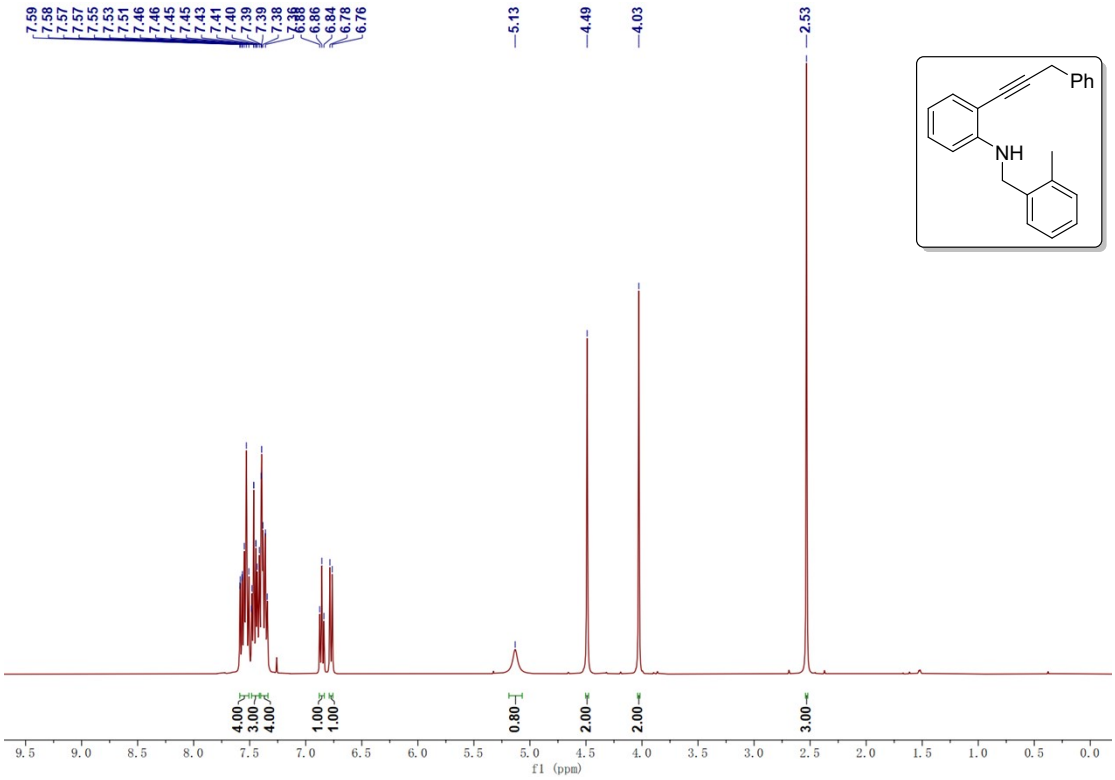
Supplementary Figure 55. ^{1}H NMR Spectrum of 1B (400 MHz, $CDCl_3$)



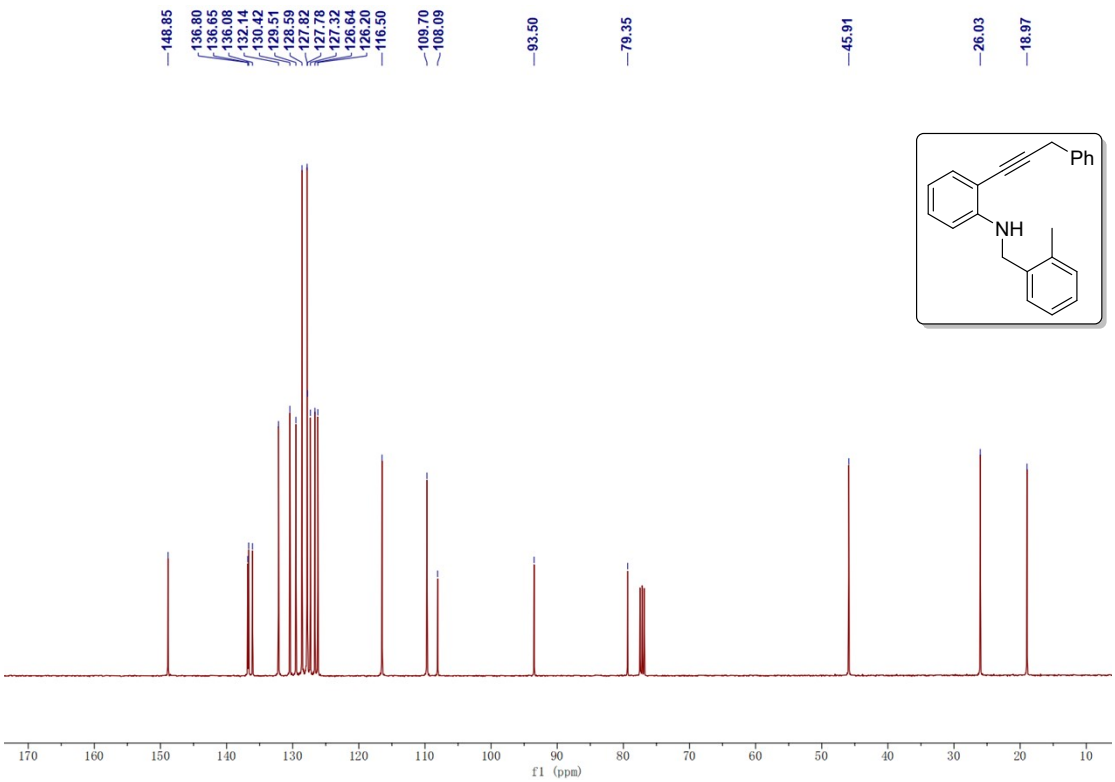
Supplementary Figure 56. ^{13}C NMR Spectrum of 1B (100 MHz, $CDCl_3$)



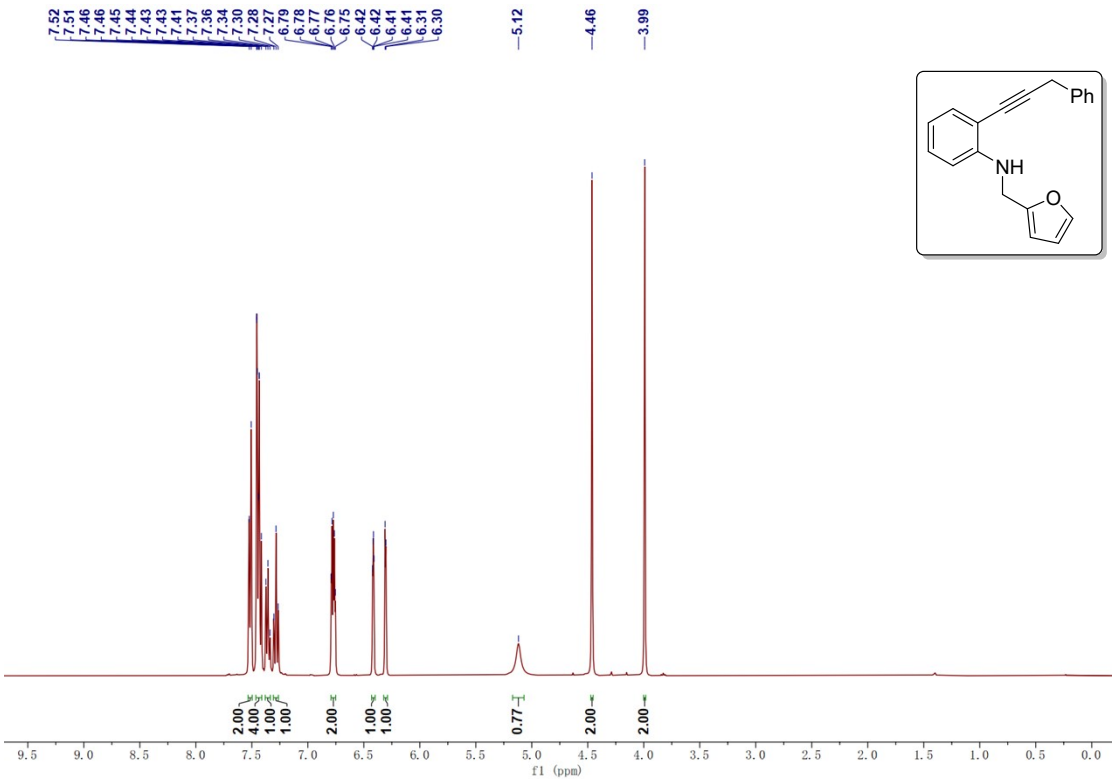
Supplementary Figure 57. ^{1}H NMR Spectrum of 1C (400 MHz, $CDCl_3$)



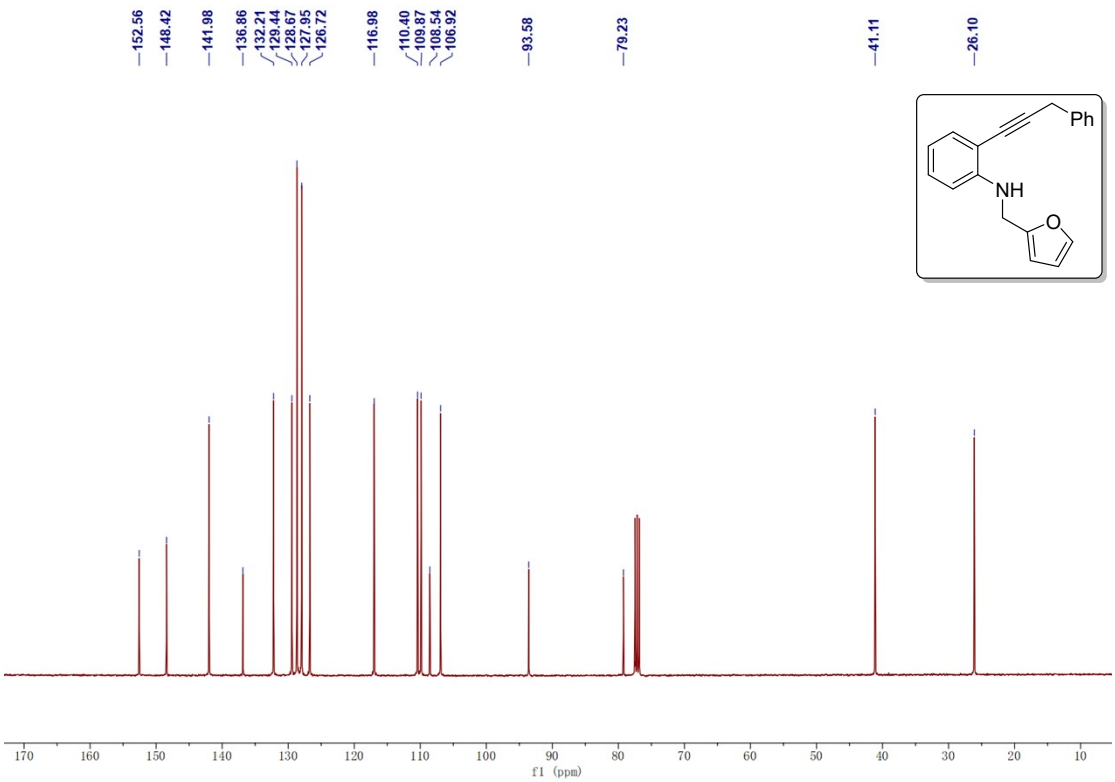
Supplementary Figure 58. ^{13}C NMR Spectrum of 1C (100 MHz, $CDCl_3$)



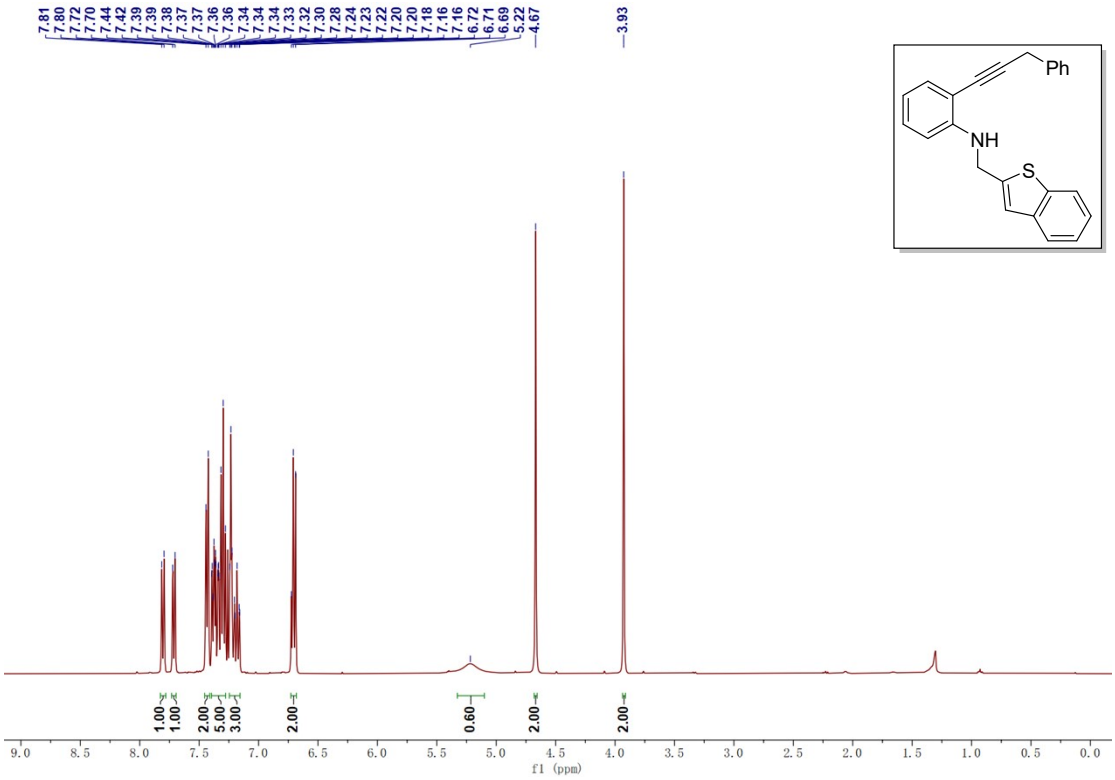
Supplementary Figure 59. ^{1}H NMR Spectrum of 1D (400 MHz, $CDCl_3$)



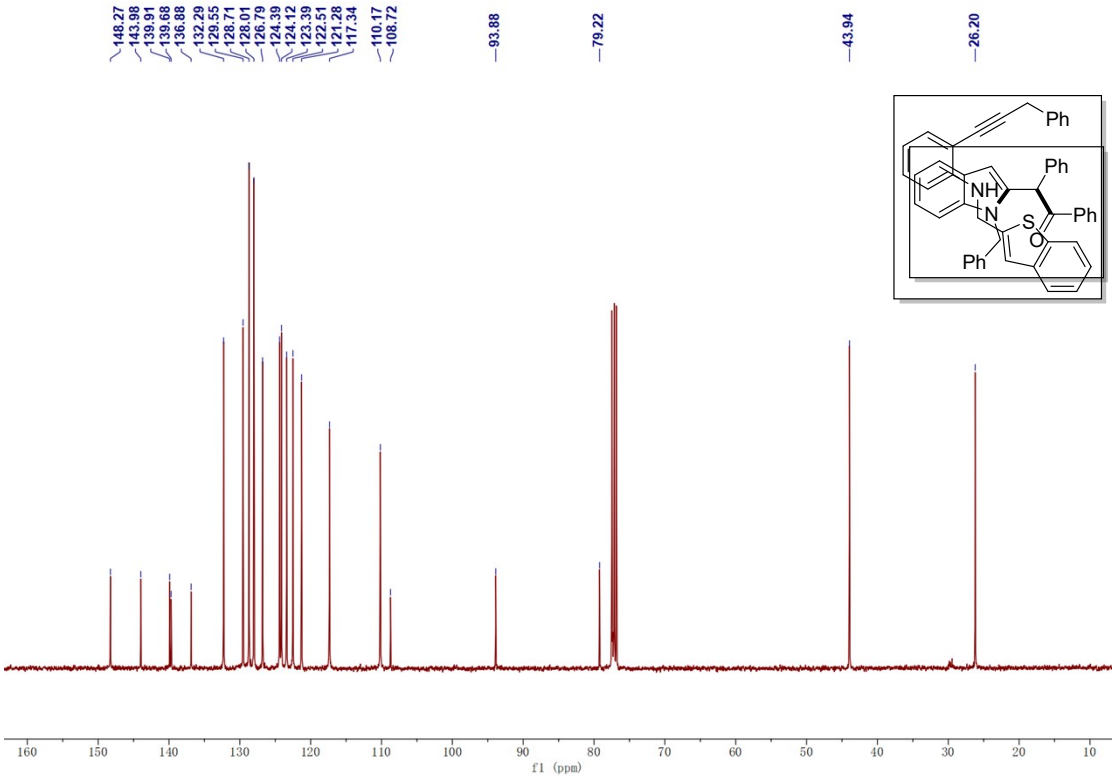
Supplementary Figure 60. ^{13}C NMR Spectrum of 1D (100 MHz, $CDCl_3$)



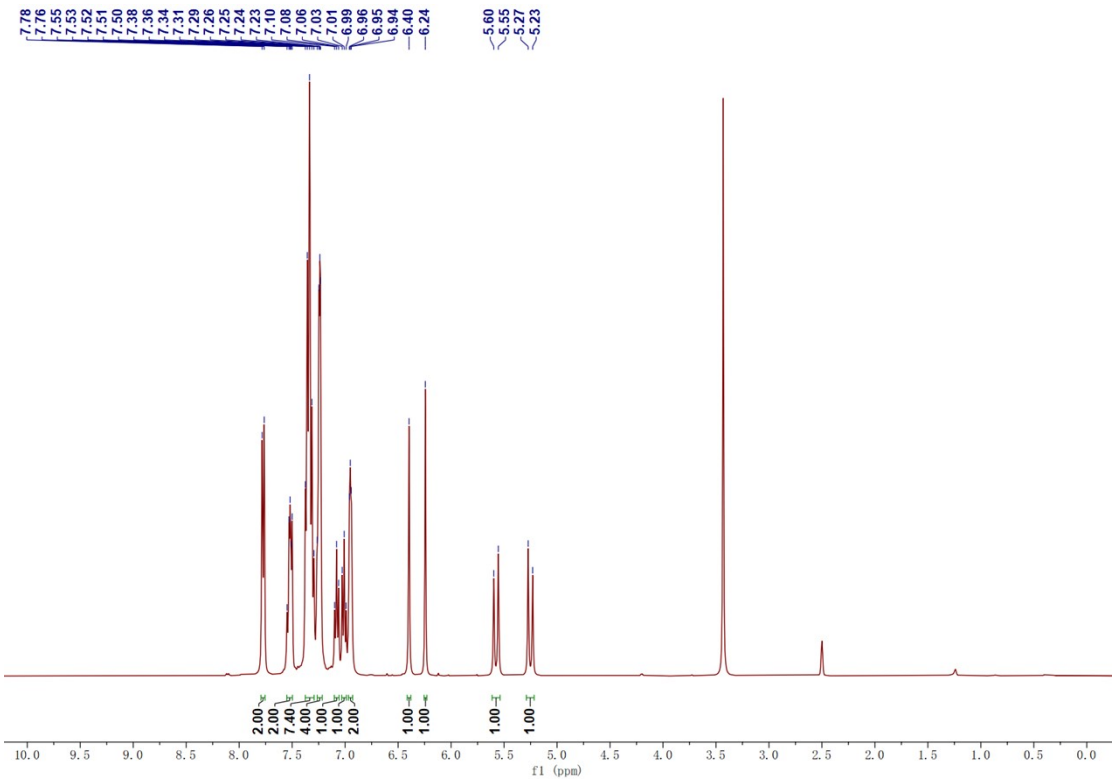
Supplementary Figure 61. ^{1}H NMR Spectrum of 1E (400 MHz, $CDCl_3$)



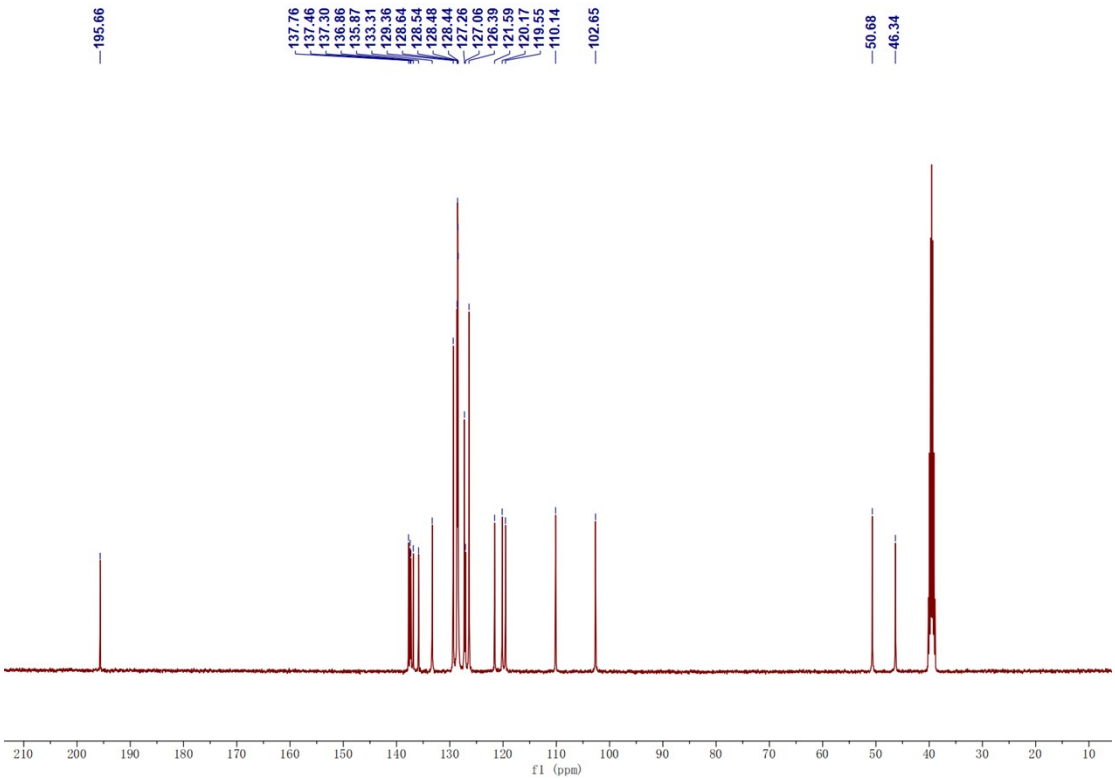
Supplementary Figure 62. ^{13}C NMR Spectrum of 1E (100 MHz, $CDCl_3$)



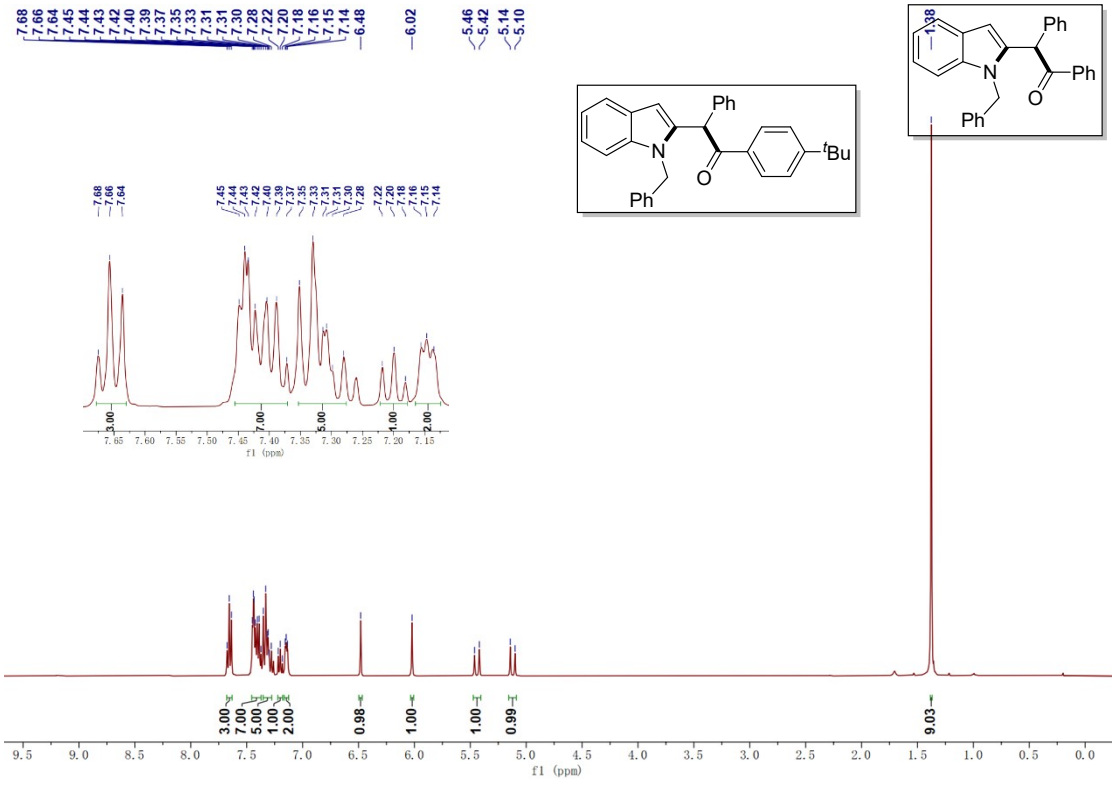
Supplementary Figure 63. ^{1}H NMR Spectrum of 3aa (400 MHz, DMSO-d_6)



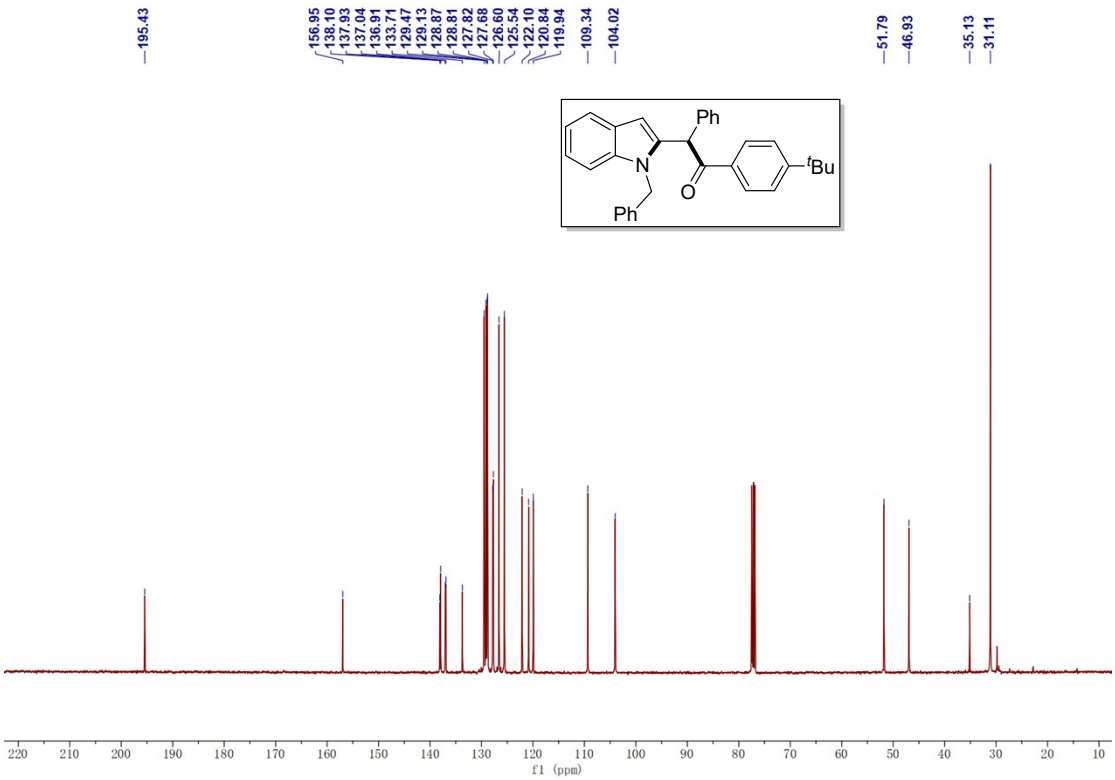
Supplementary Figure 64. ^{13}C NMR Spectrum of 3aa (100 MHz, DMSO-d_6)



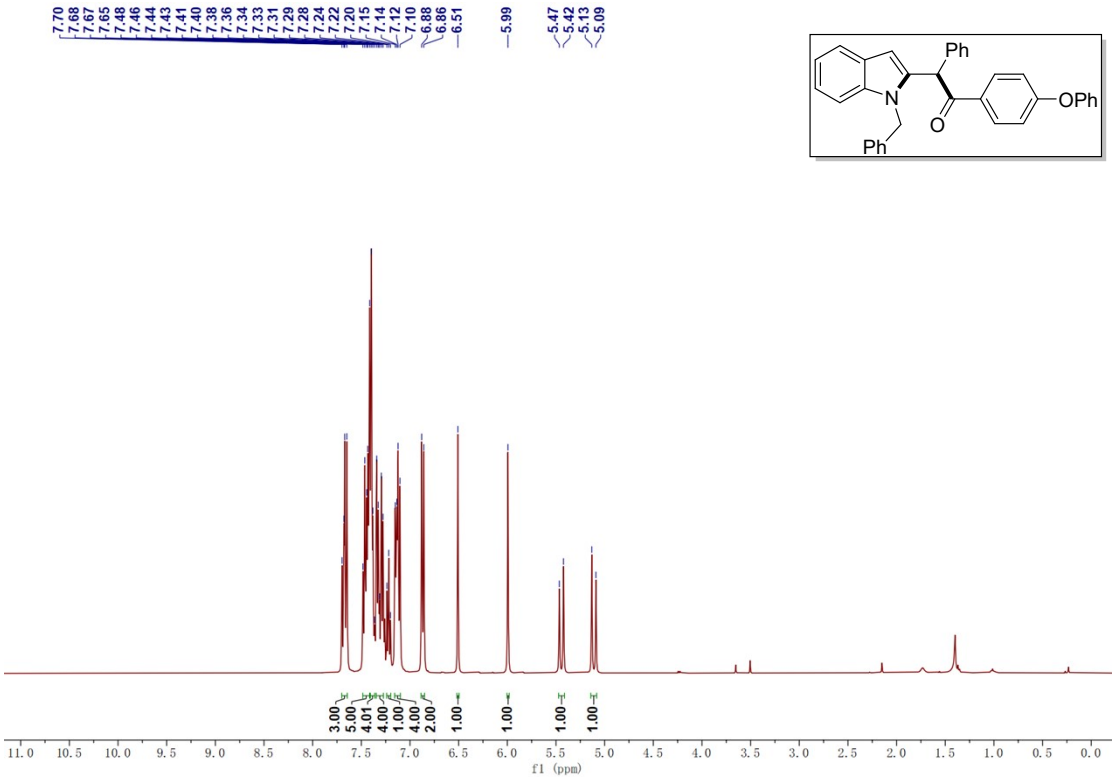
Supplementary Figure 65. ^{1}H NMR Spectrum of 3ab (400 MHz, $CDCl_3$)



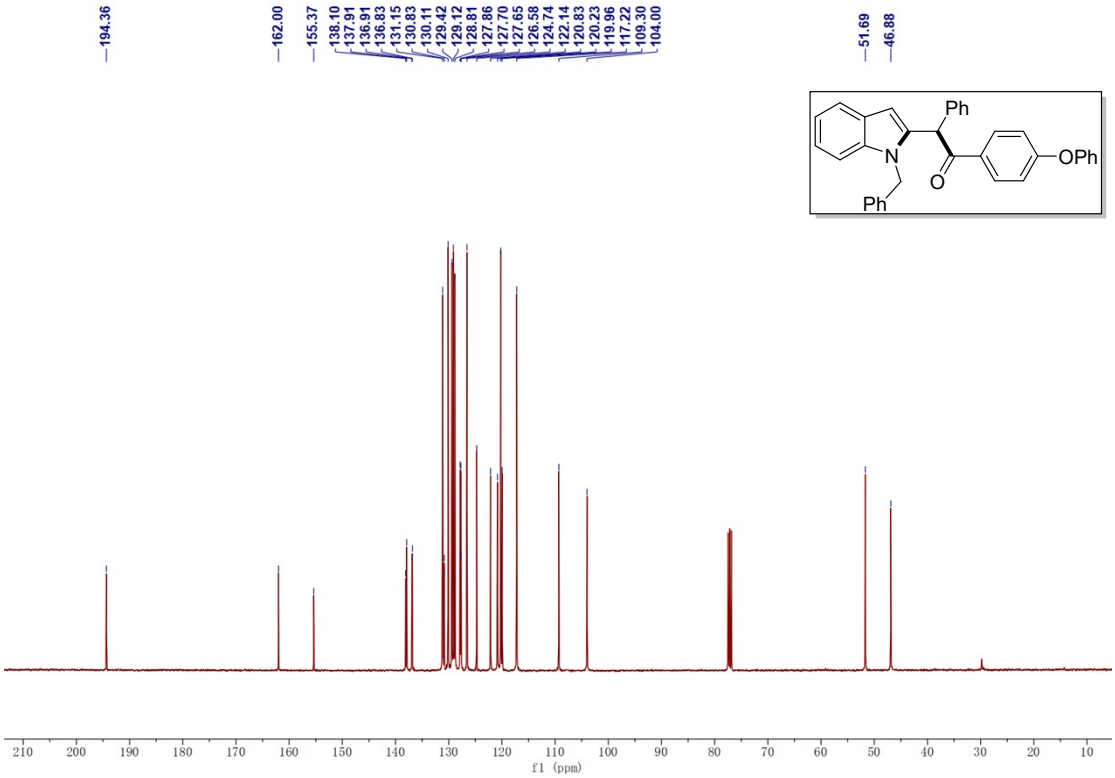
Supplementary Figure 66. ^{13}C NMR Spectrum of 3ab (100 MHz, $CDCl_3$)



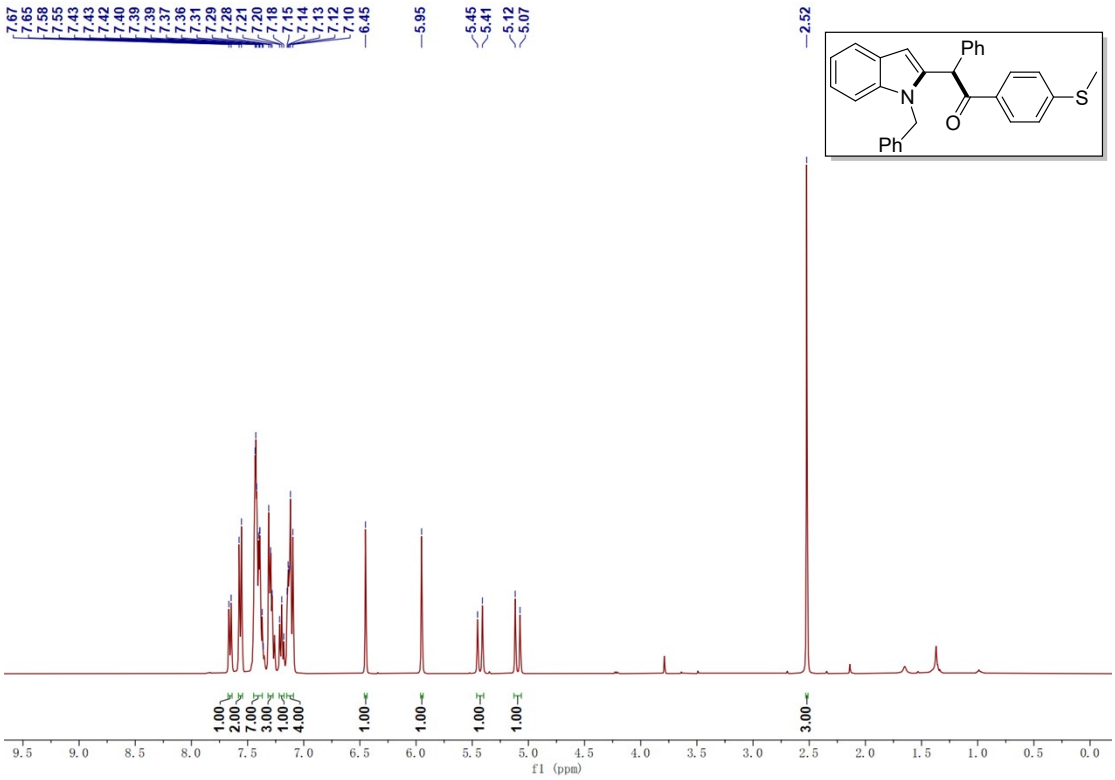
Supplementary Figure 67. ^{1}H NMR Spectrum of 3ac (400 MHz, $CDCl_3$)



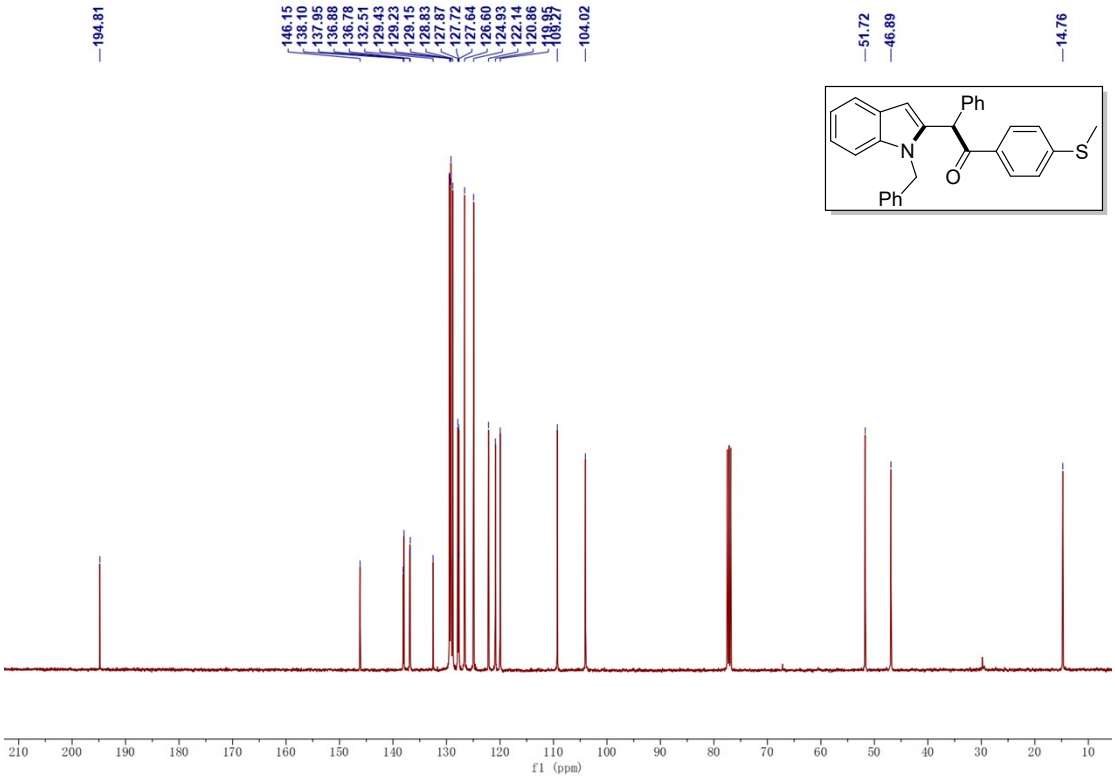
Supplementary Figure 68. ^{13}C NMR Spectrum of 3ac (100 MHz, $CDCl_3$)



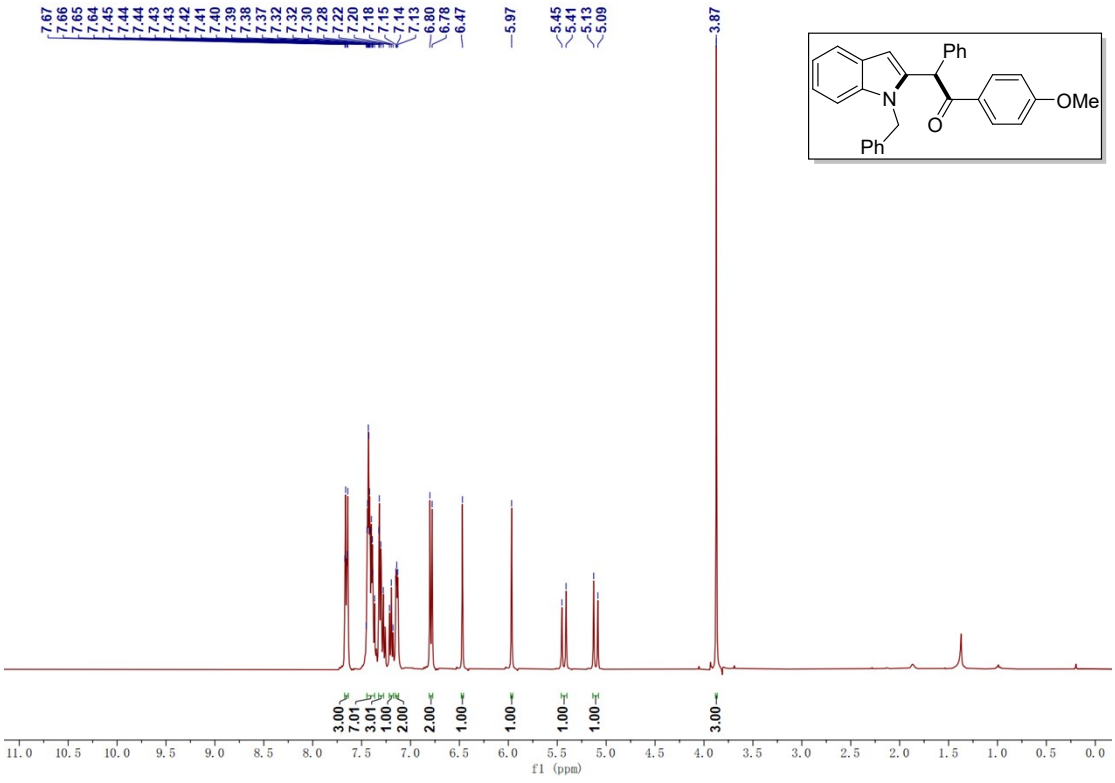
Supplementary Figure 69. ^{1}H NMR Spectrum of 3ad (400 MHz, $CDCl_3$)



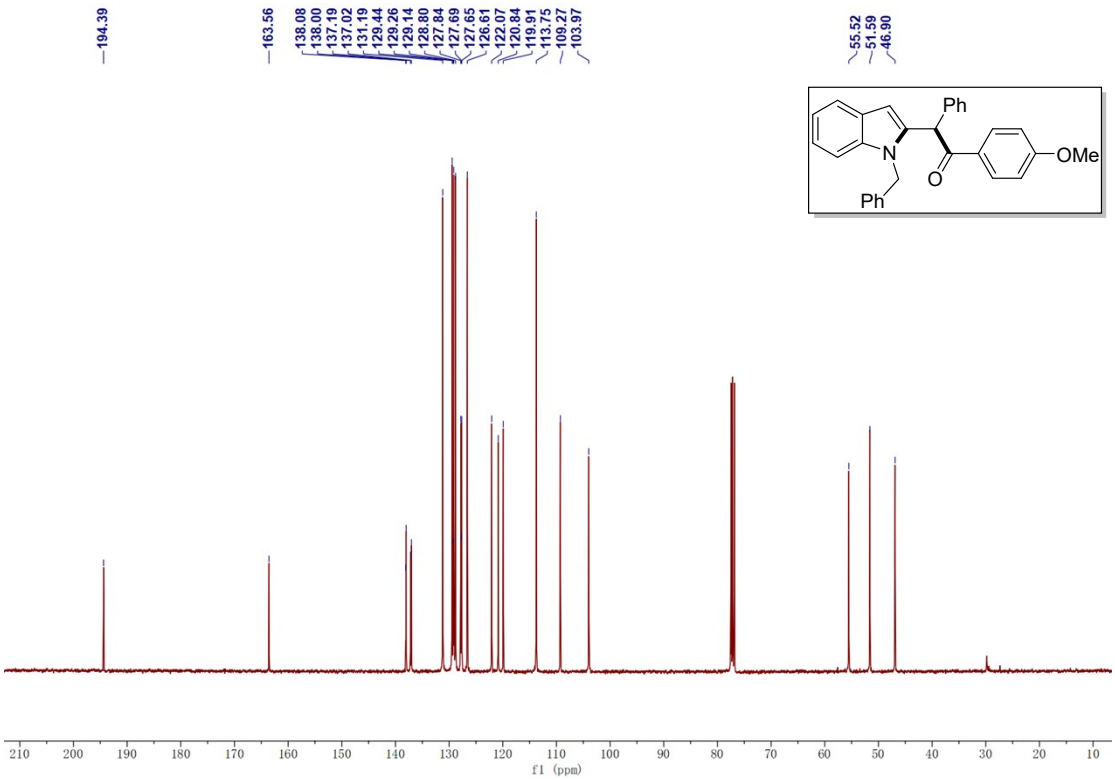
Supplementary Figure 70. ^{13}C NMR Spectrum of 3ad (100 MHz, $CDCl_3$)



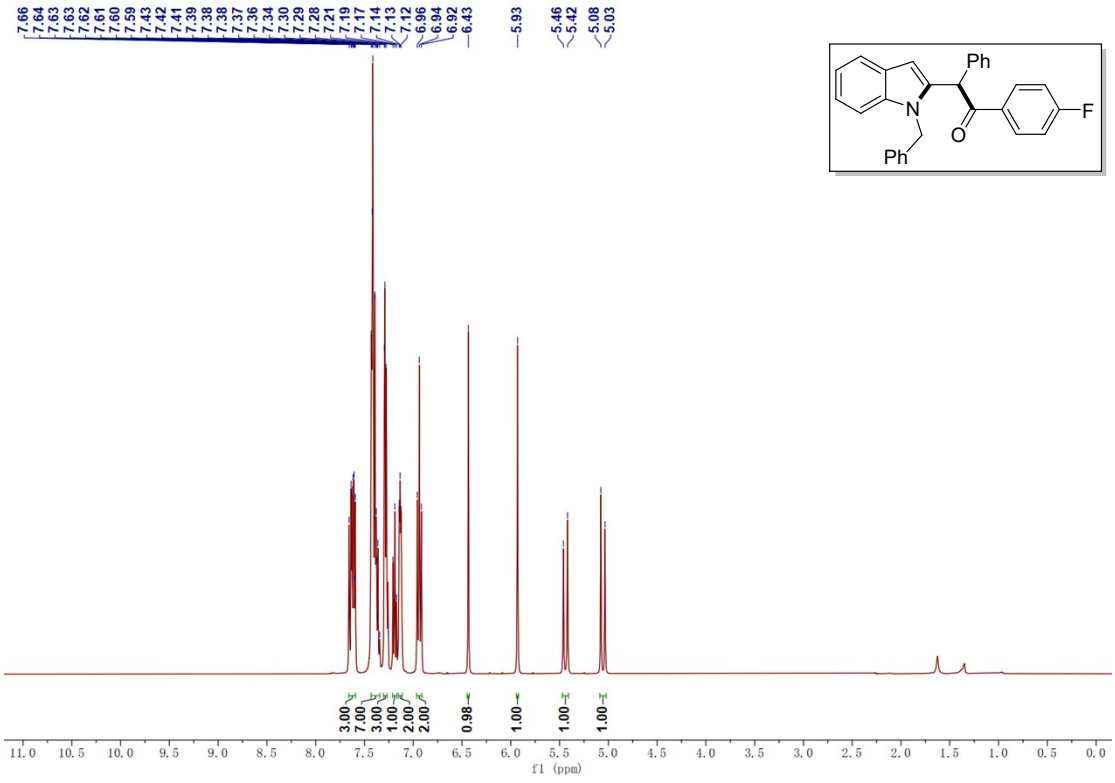
Supplementary Figure 71. ^{1}H NMR Spectrum of 3ae (400 MHz, $CDCl_3$)



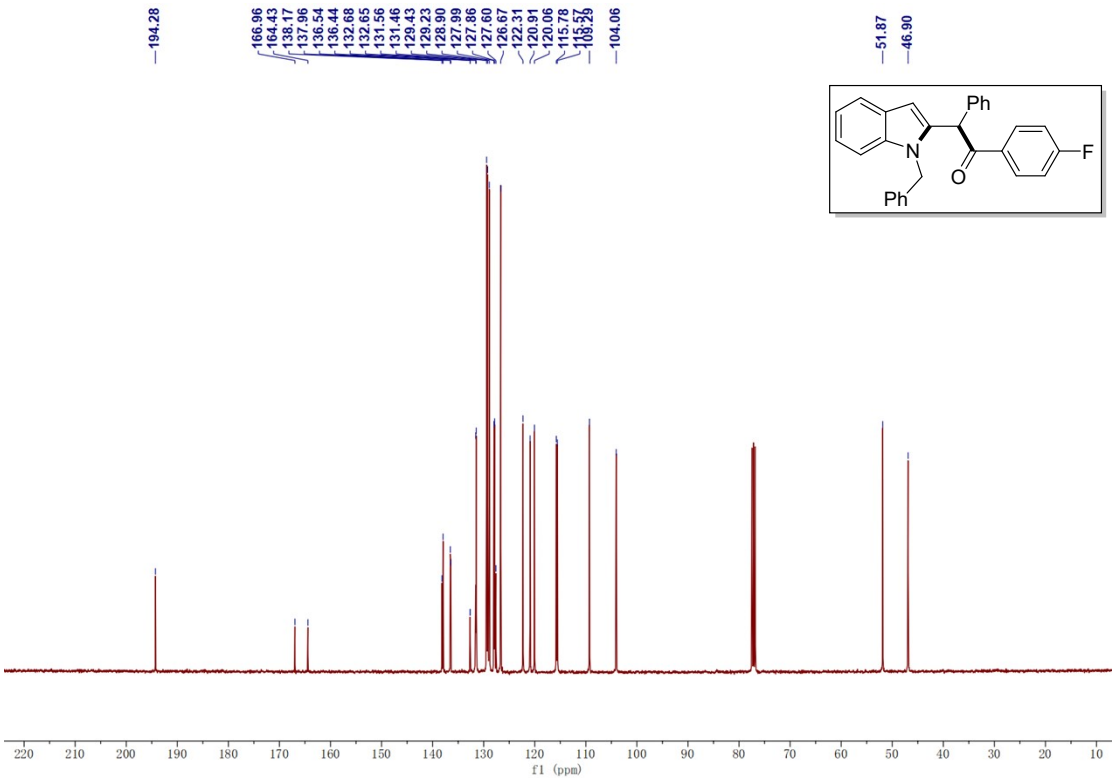
Supplementary Figure 72. ^{13}C NMR Spectrum of 3ae (100 MHz, $CDCl_3$)



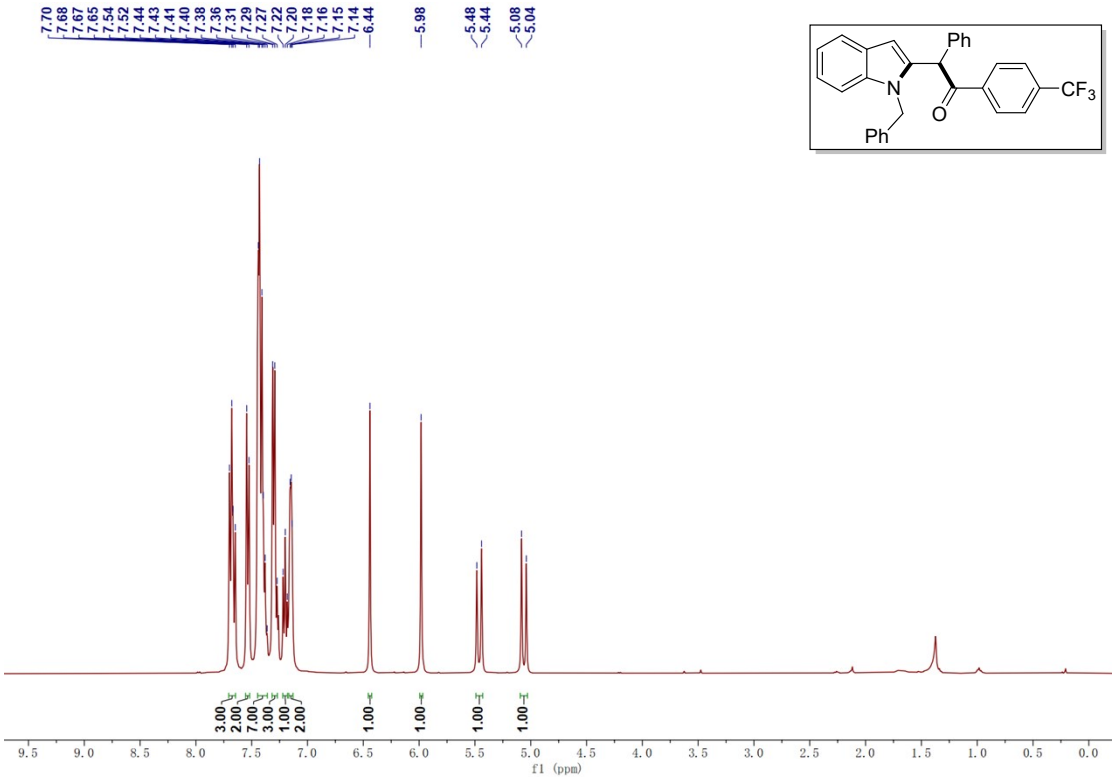
Supplementary Figure 73. ^{1}H NMR Spectrum of 3af (400 MHz, $CDCl_3$)



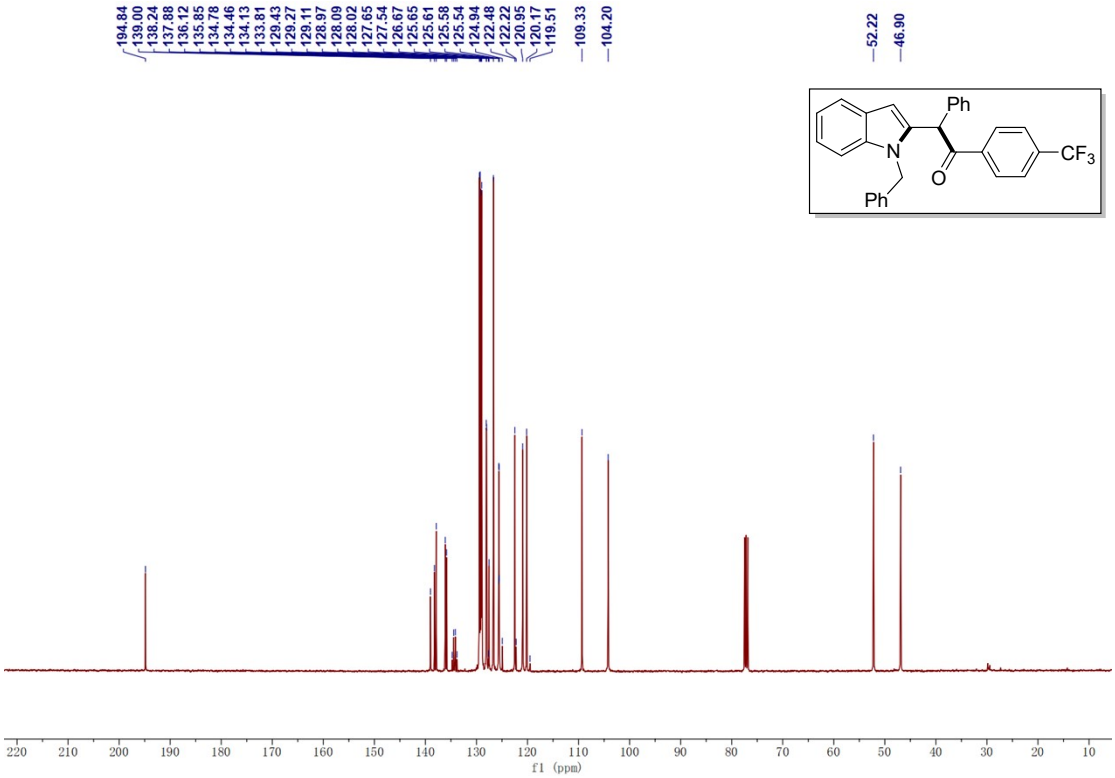
Supplementary Figure 74. ^{13}C NMR Spectrum of 3af (100 MHz, $CDCl_3$)



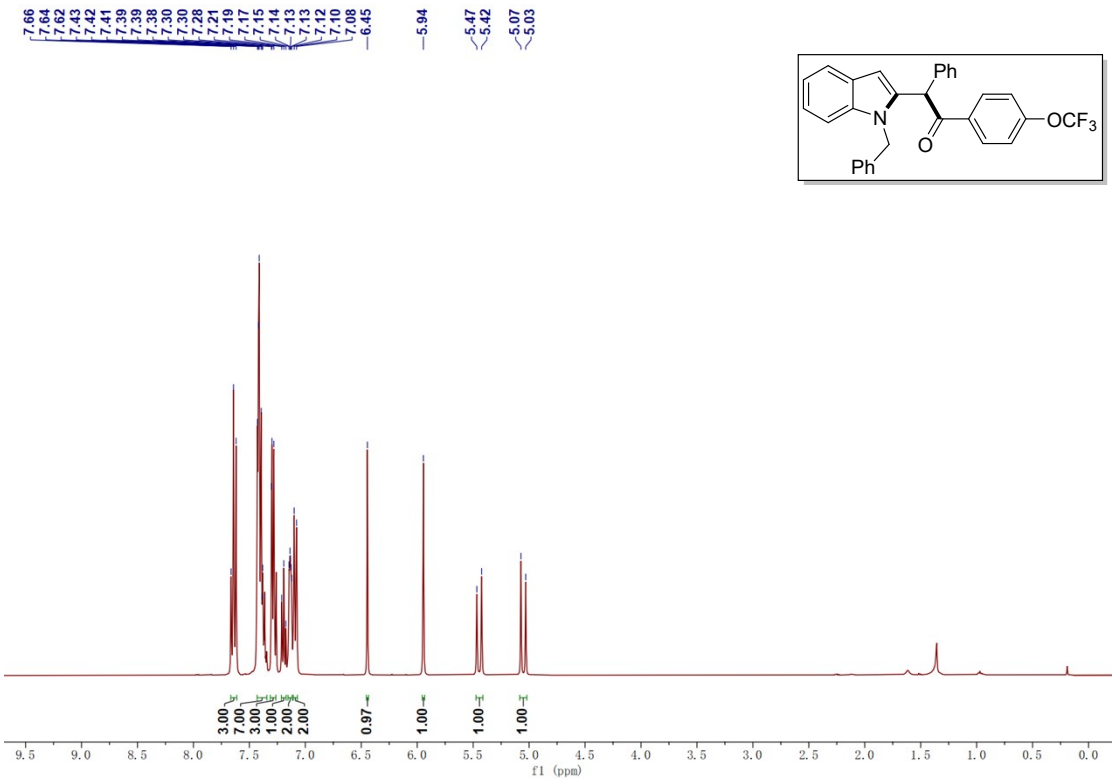
Supplementary Figure 75. ^{1}H NMR Spectrum of 3ag (400 MHz, $CDCl_3$)



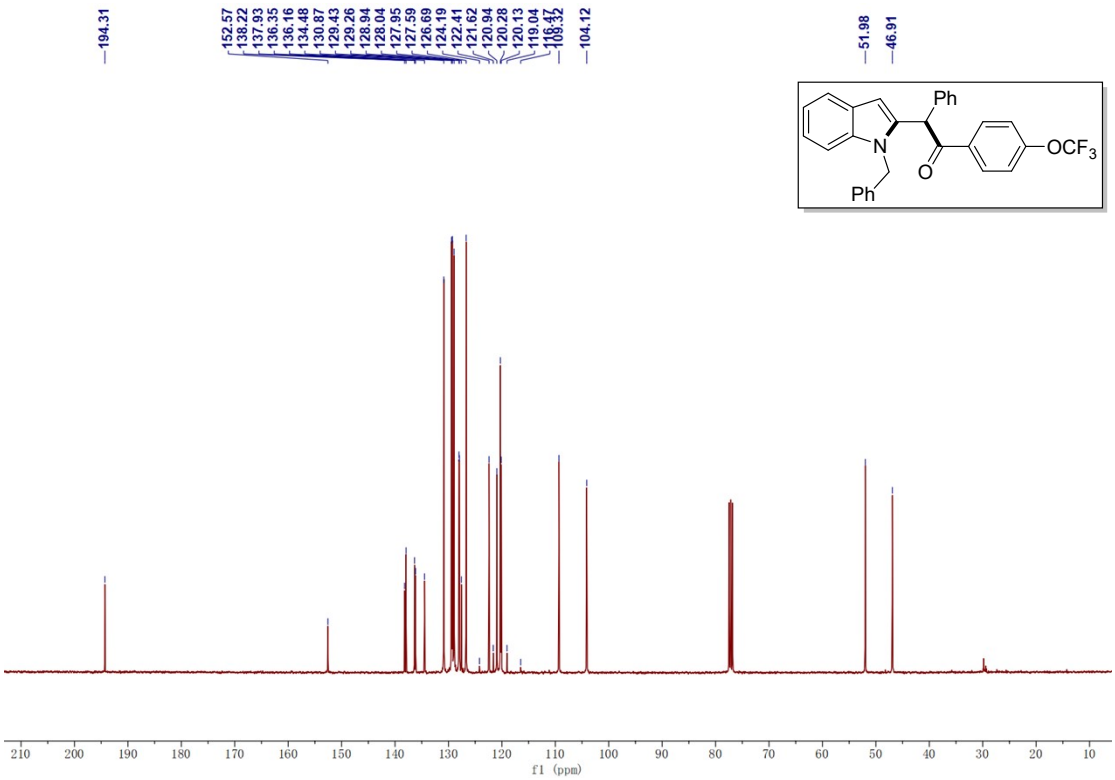
Supplementary Figure 76. ^{13}C NMR Spectrum of 3ag (100 MHz, $CDCl_3$)



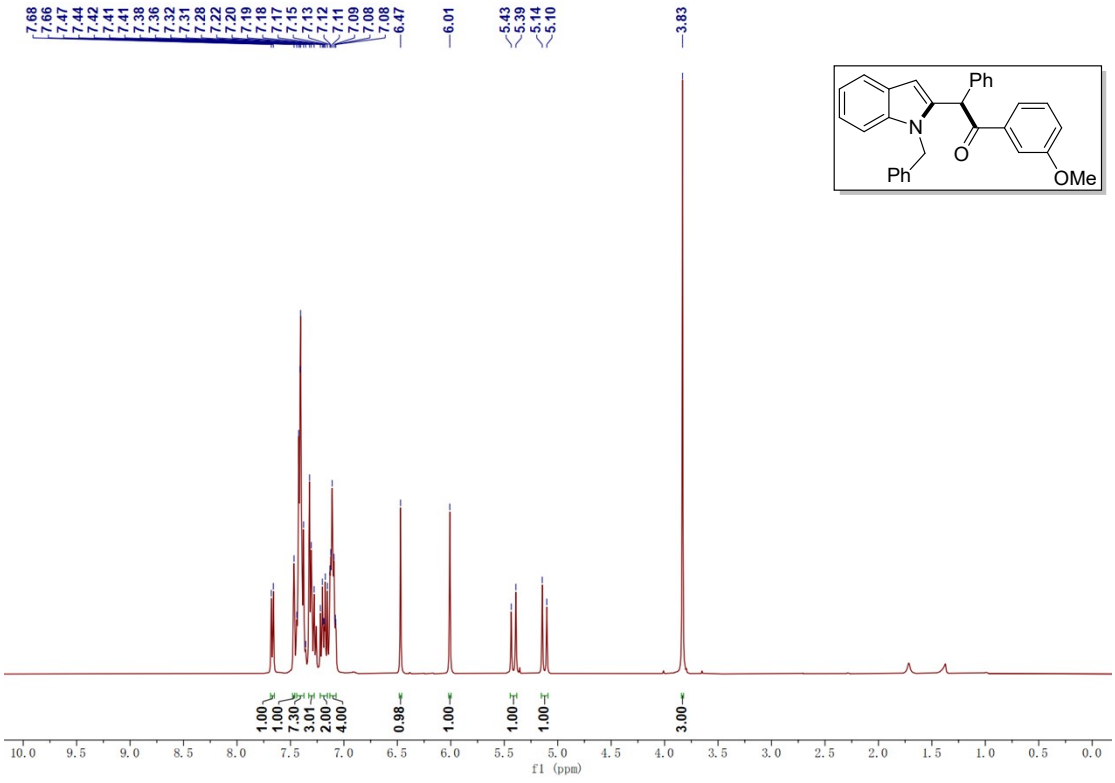
Supplementary Figure 77. ^{1}H NMR Spectrum of 3ah (400 MHz, $CDCl_3$)



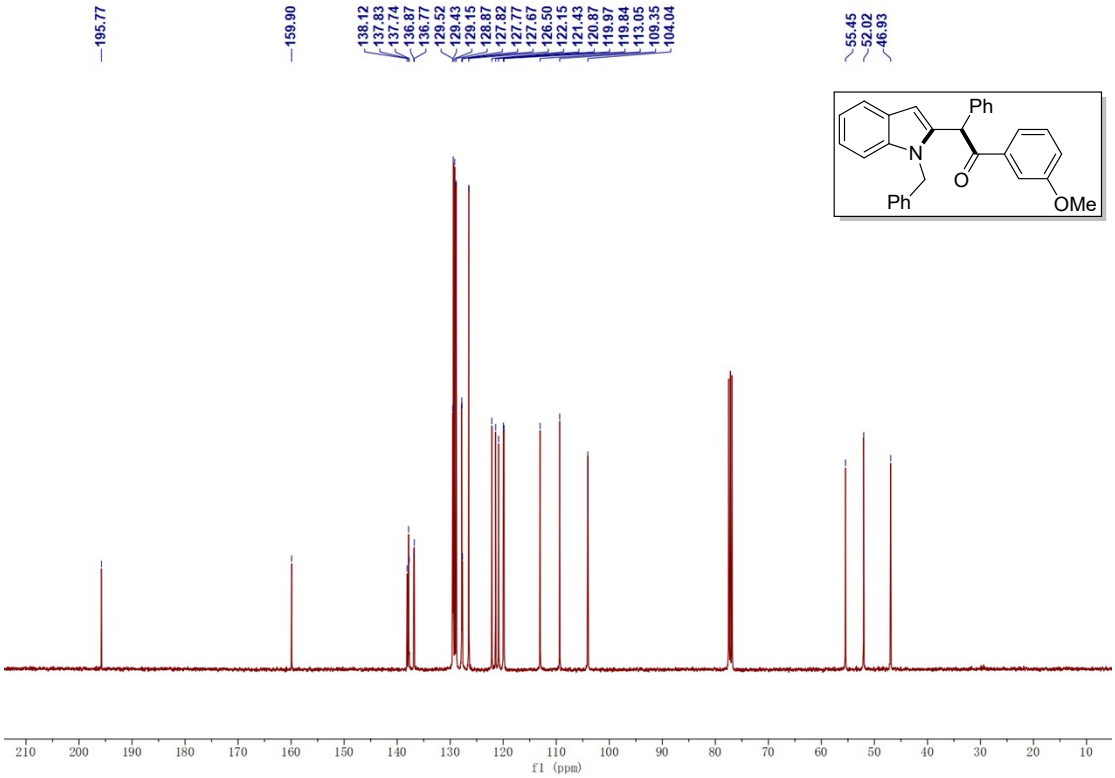
Supplementary Figure 78. ^{13}C NMR Spectrum of 3ah (100 MHz, $CDCl_3$)



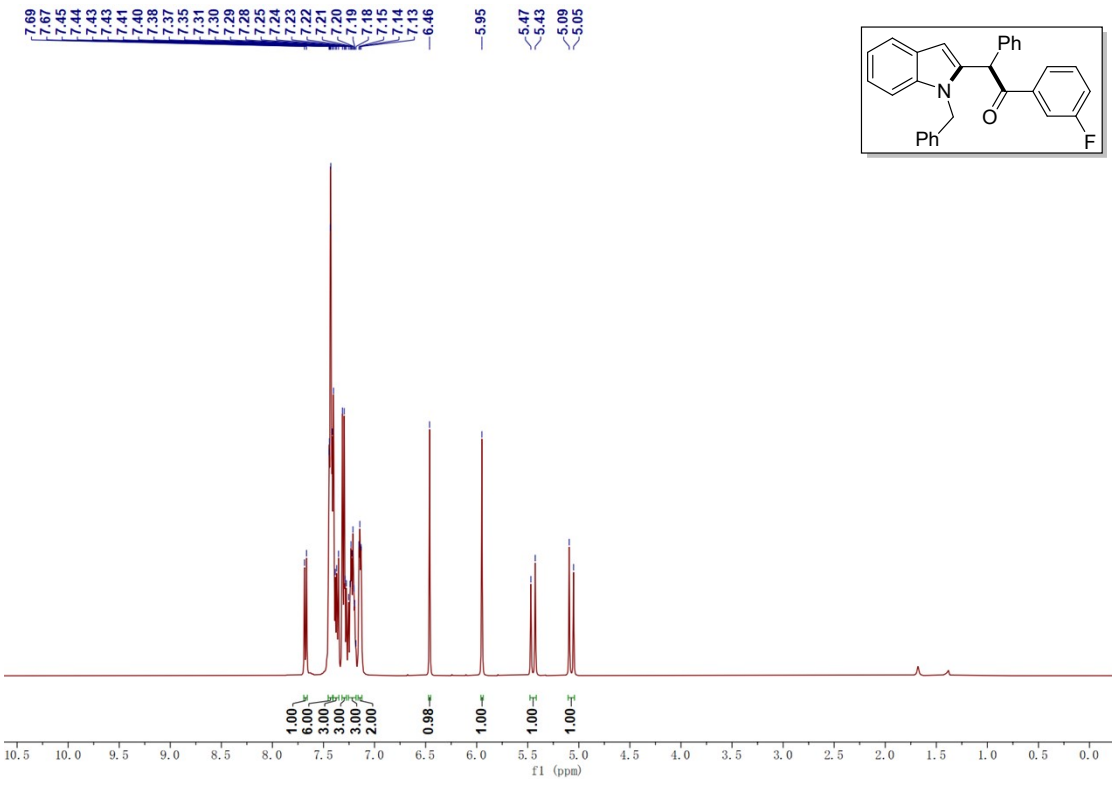
Supplementary Figure 79. ^{1}H NMR Spectrum of 3ai (400 MHz, $CDCl_3$)



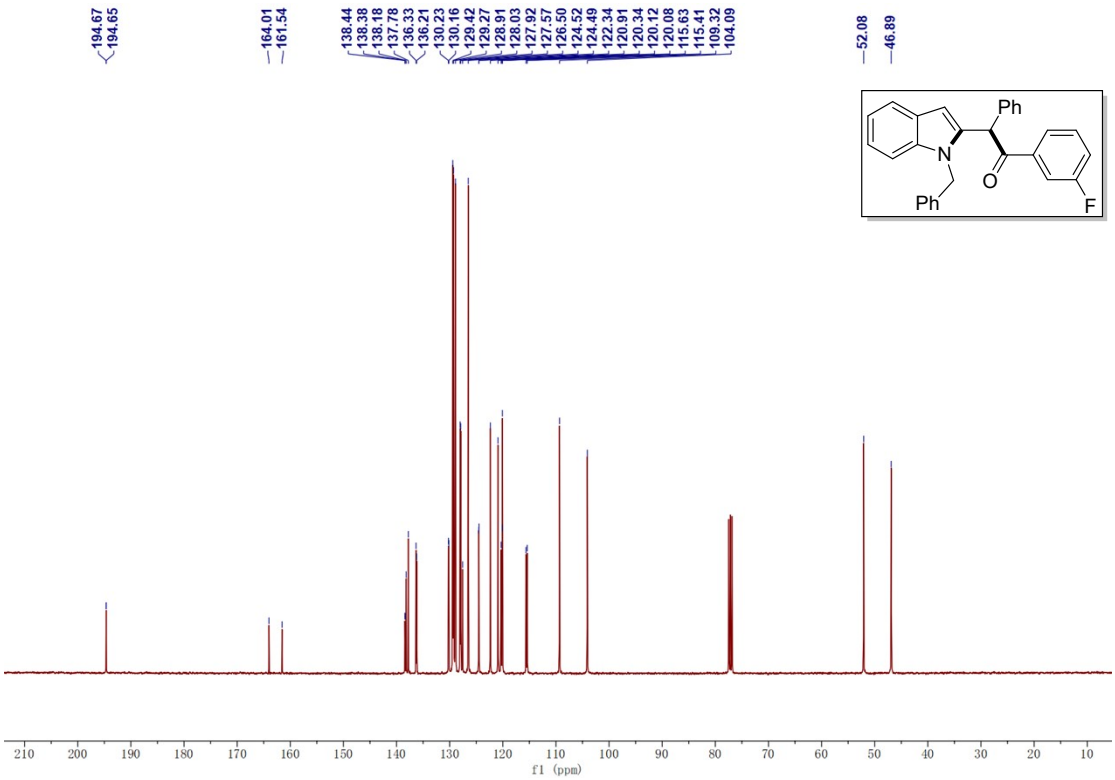
Supplementary Figure 80. ^{13}C NMR Spectrum of 3ai (100 MHz, $CDCl_3$)



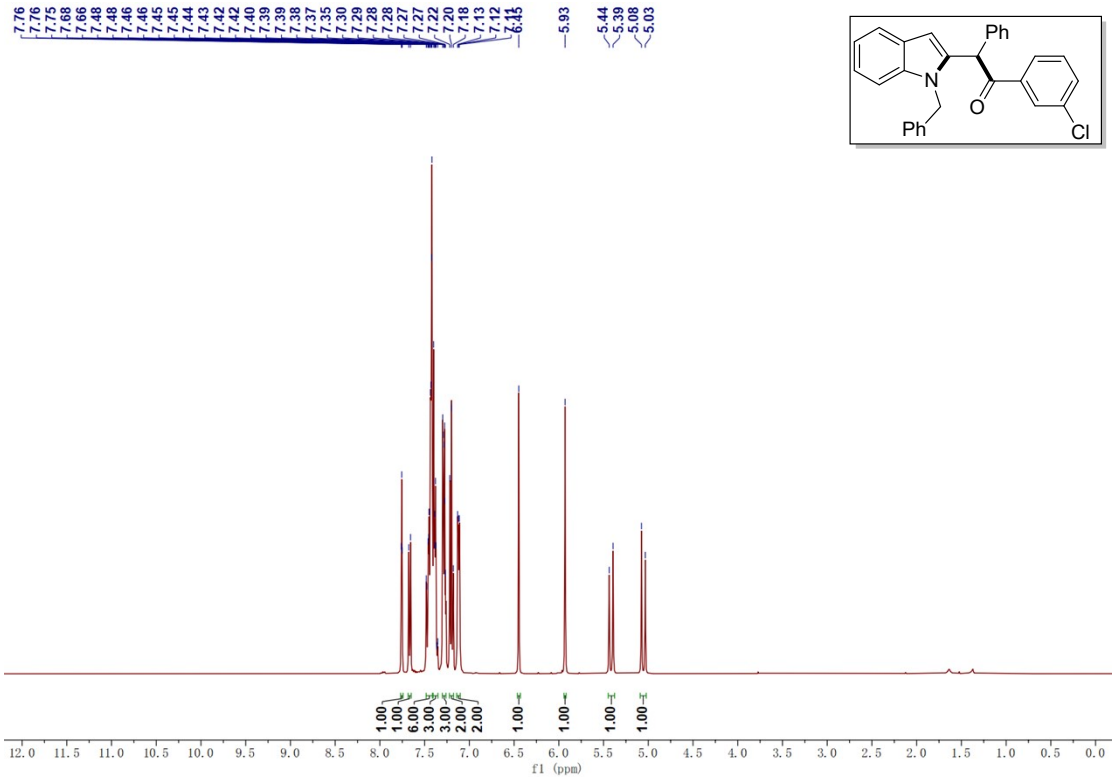
Supplementary Figure 81. ^{1}H NMR Spectrum of 3aj (400 MHz, $CDCl_3$)



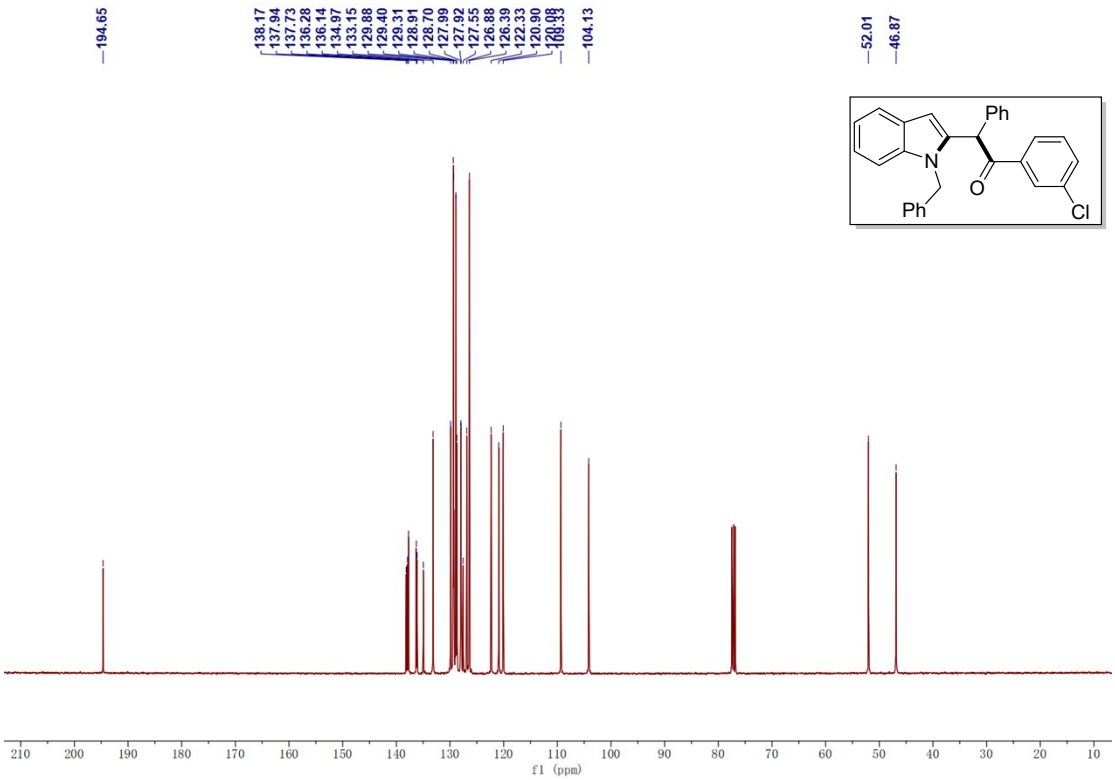
Supplementary Figure 82. ^{13}C NMR Spectrum of 3aj (100 MHz, $CDCl_3$)



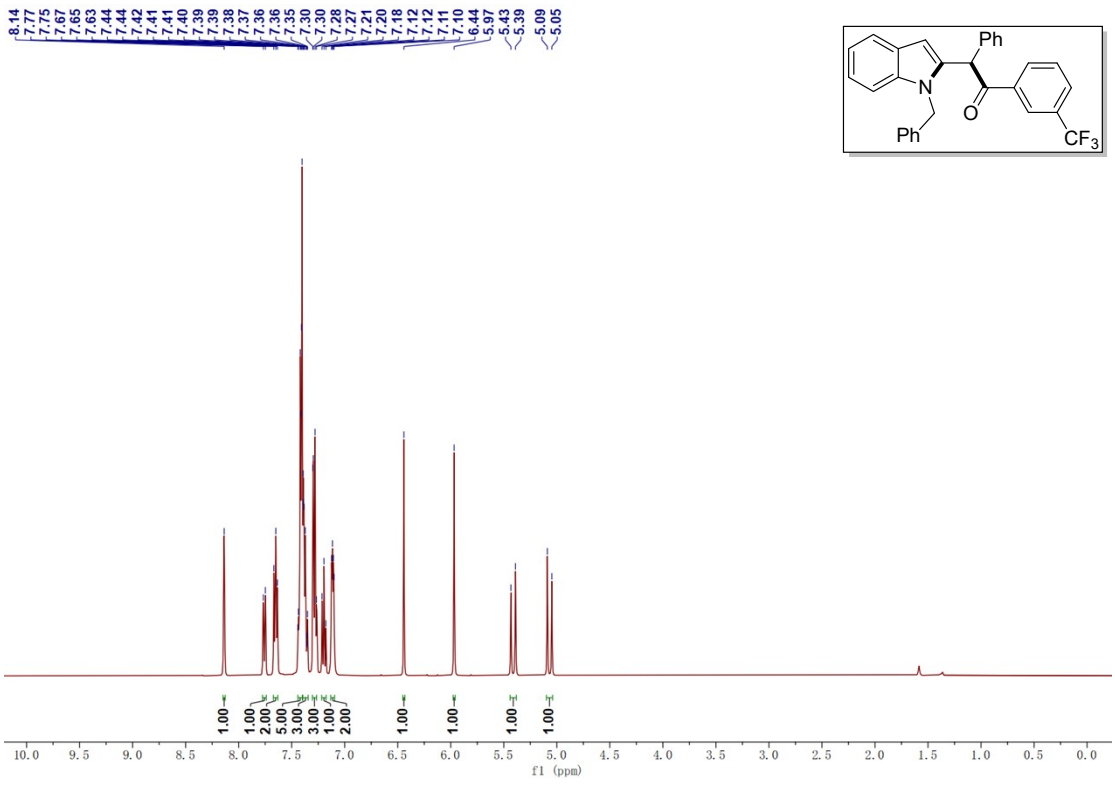
Supplementary Figure 83. ^{1}H NMR Spectrum of 3ak (400 MHz, $CDCl_3$)



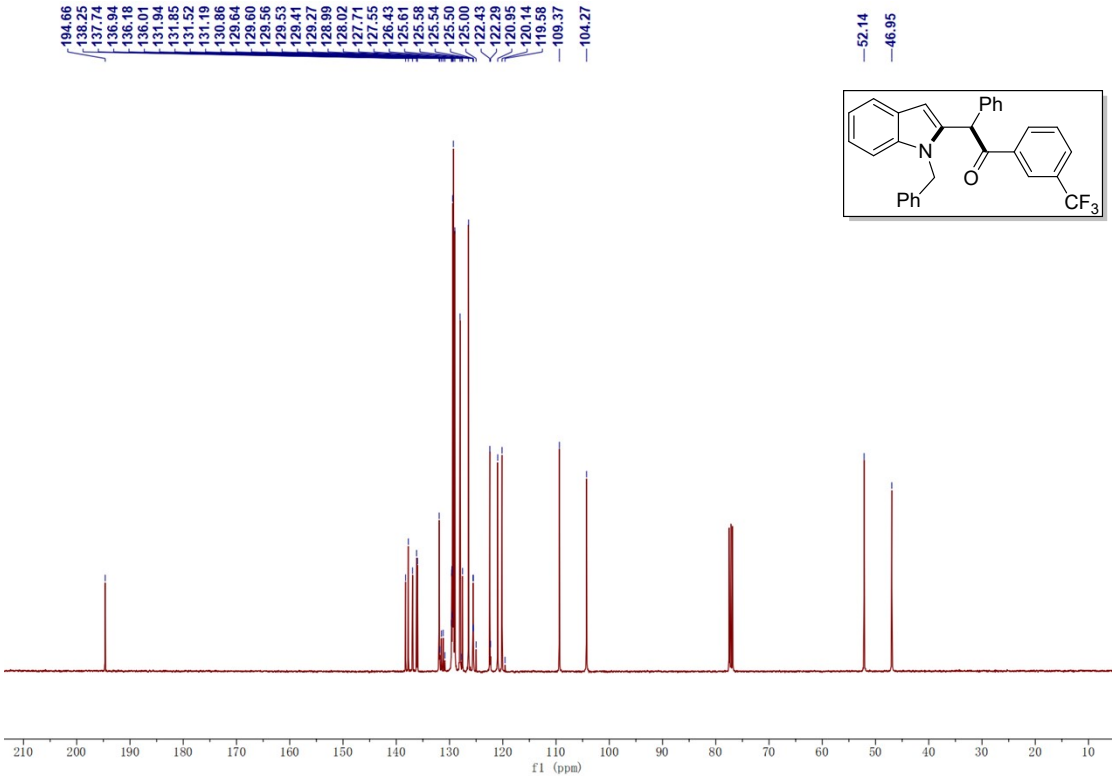
Supplementary Figure 84. ^{13}C NMR Spectrum of 3ak (100 MHz, $CDCl_3$)



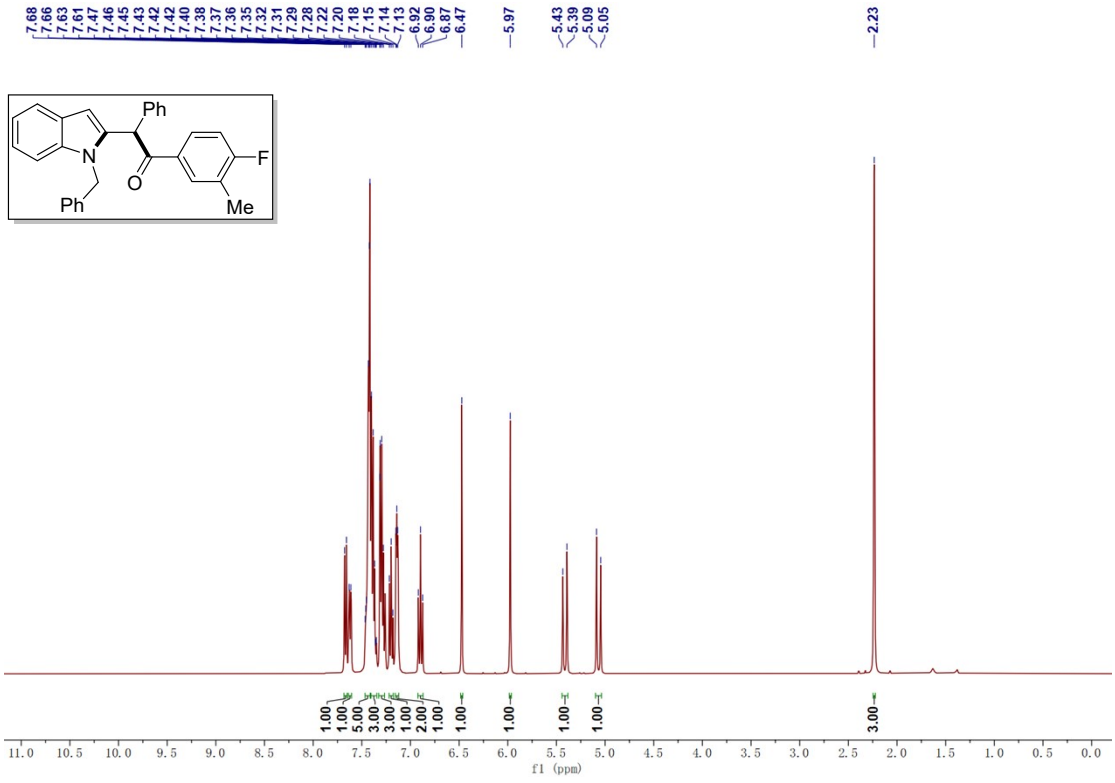
Supplementary Figure 85. ^{1}H NMR Spectrum of 3al (400 MHz, $CDCl_3$)



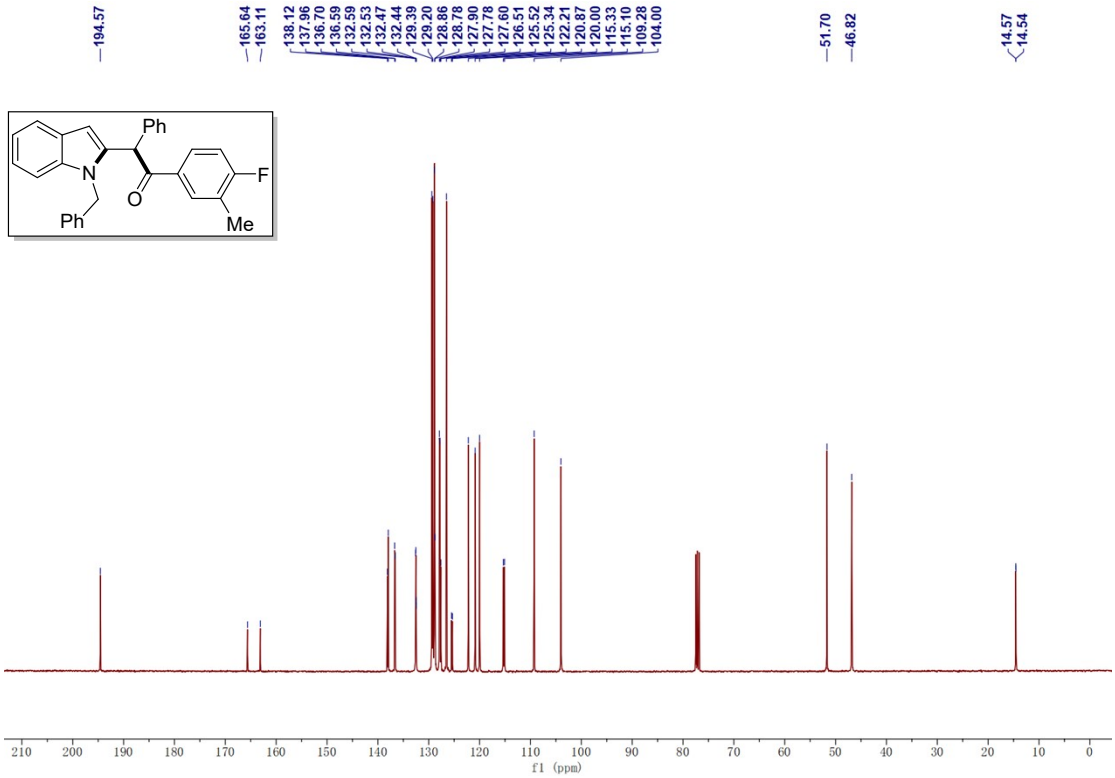
Supplementary Figure 86. ^{13}C NMR Spectrum of 3al (100 MHz, $CDCl_3$)



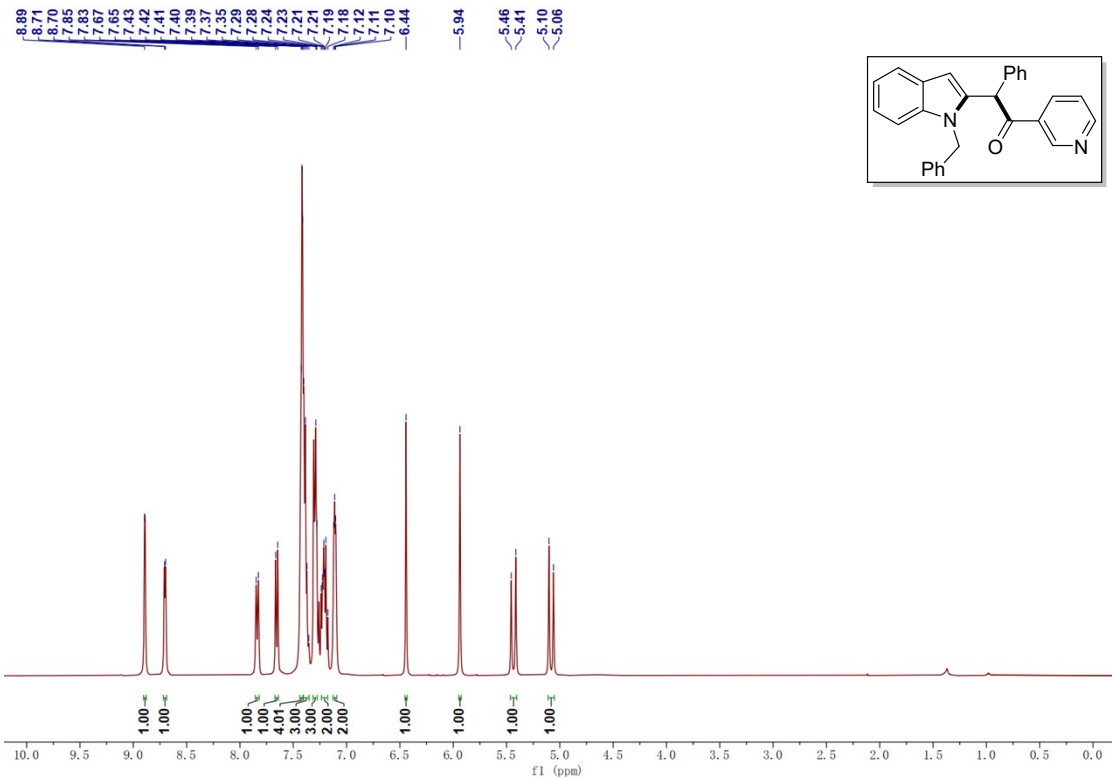
Supplementary Figure 87. ^{1}H NMR Spectrum of 3am (400 MHz, $CDCl_3$)



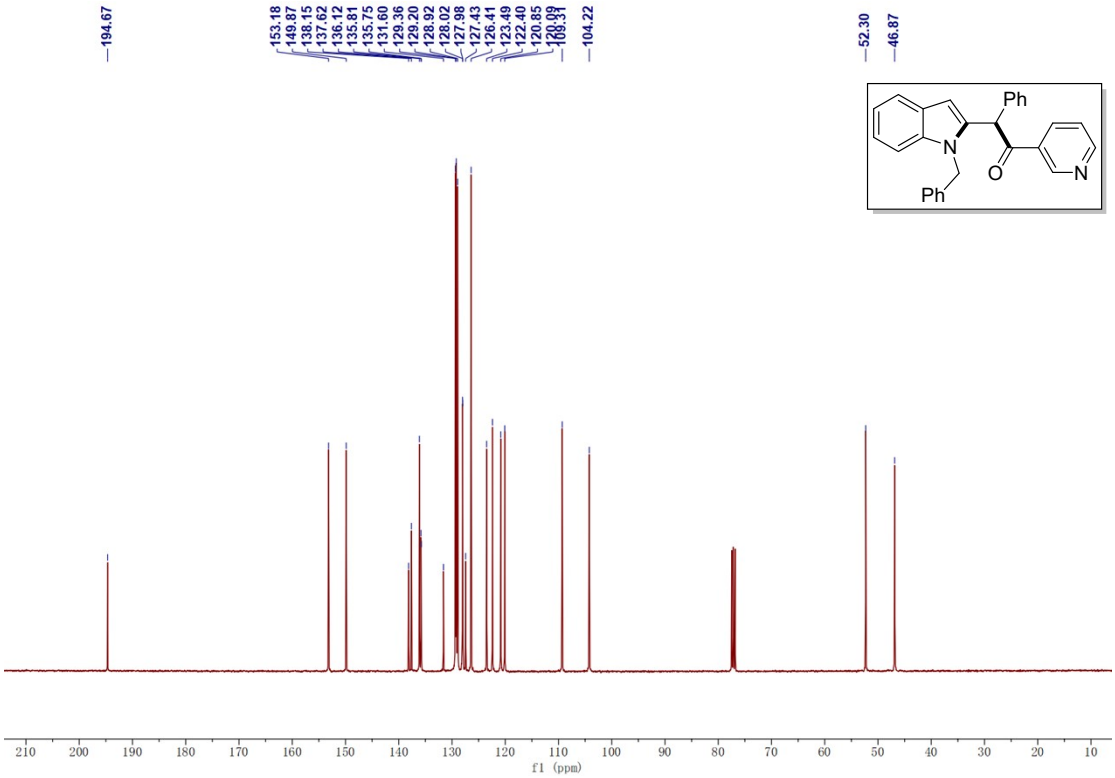
Supplementary Figure 88. ^{13}C NMR Spectrum of 3am (100 MHz, $CDCl_3$)



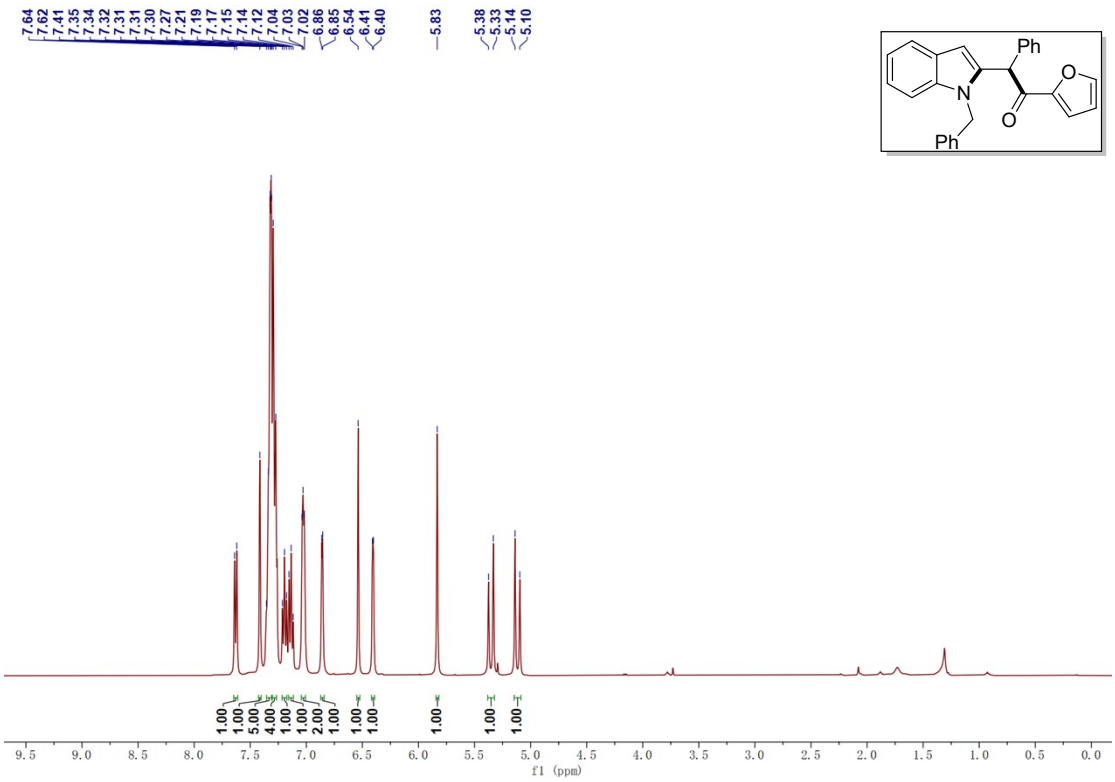
Supplementary Figure 89. ^{1}H NMR Spectrum of 3an (400 MHz, $CDCl_3$)



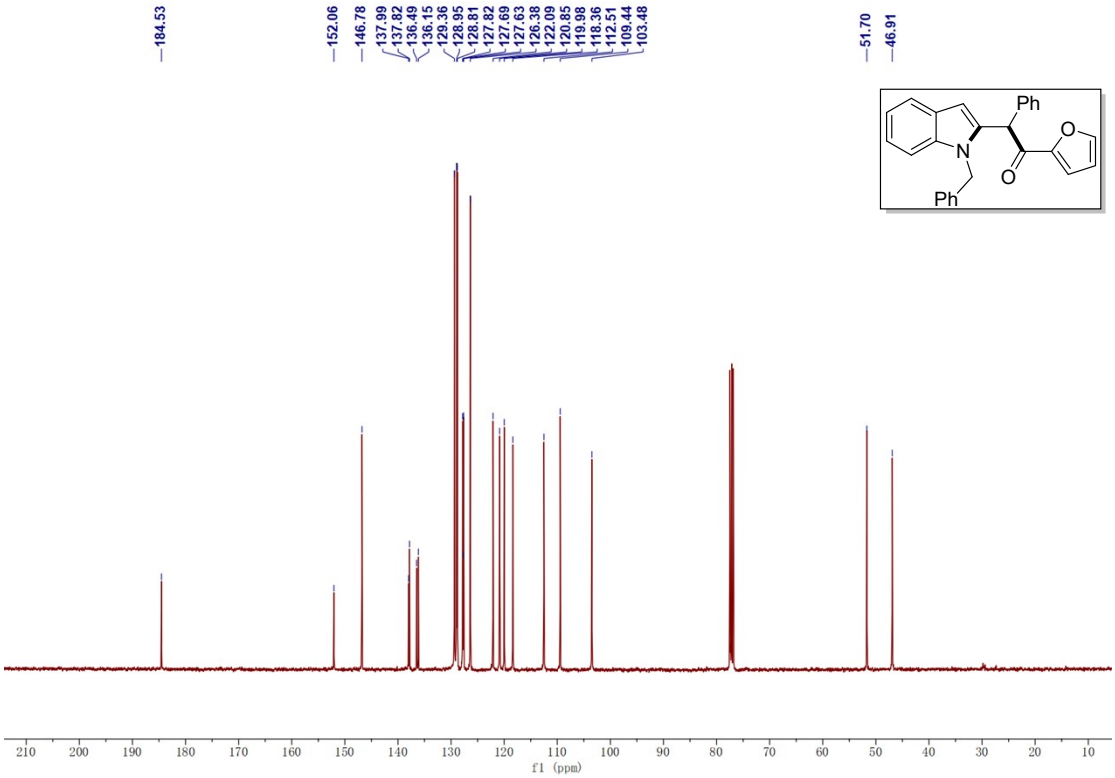
Supplementary Figure 90. ^{13}C NMR Spectrum of 3an (100 MHz, $CDCl_3$)



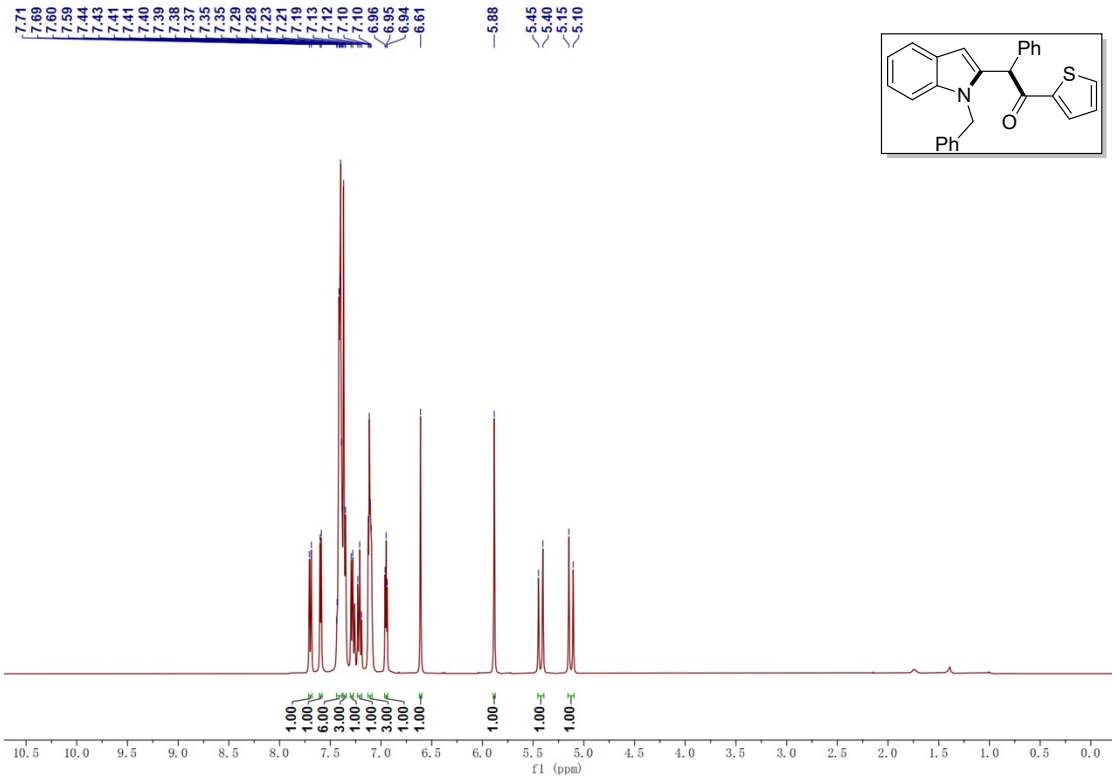
Supplementary Figure 91. ^{1}H NMR Spectrum of 3ao (400 MHz, $CDCl_3$)



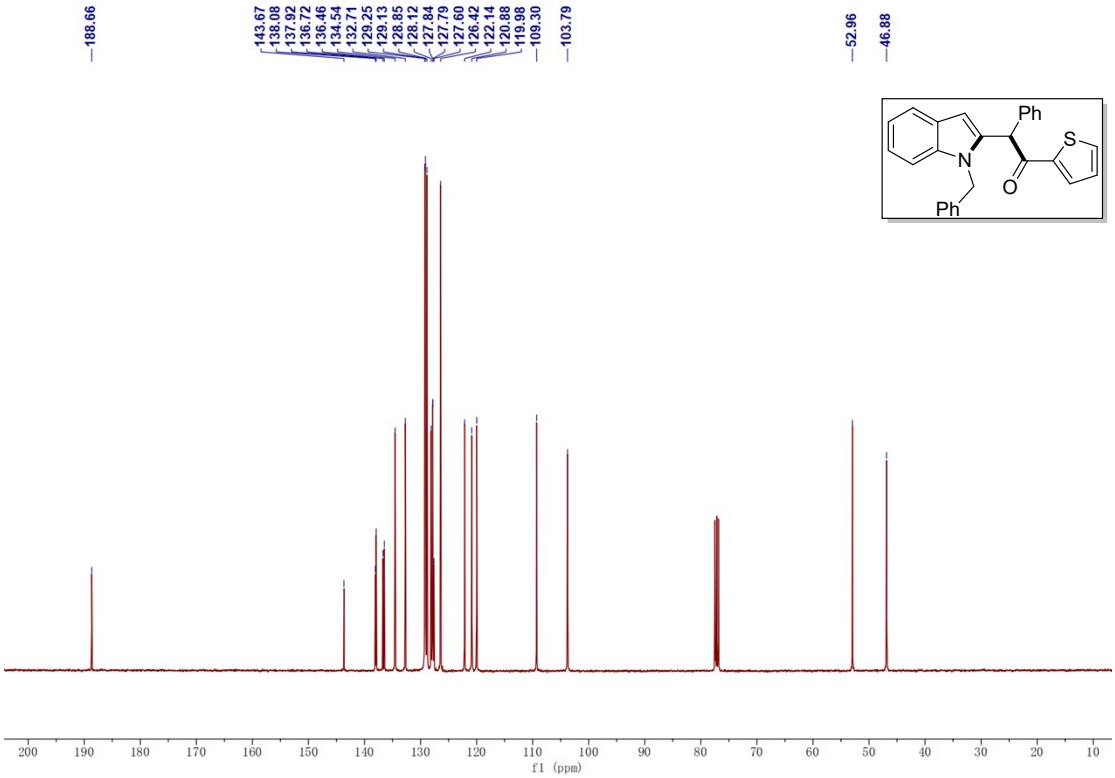
Supplementary Figure 92. ^{13}C NMR Spectrum of 3ao (100 MHz, $CDCl_3$)



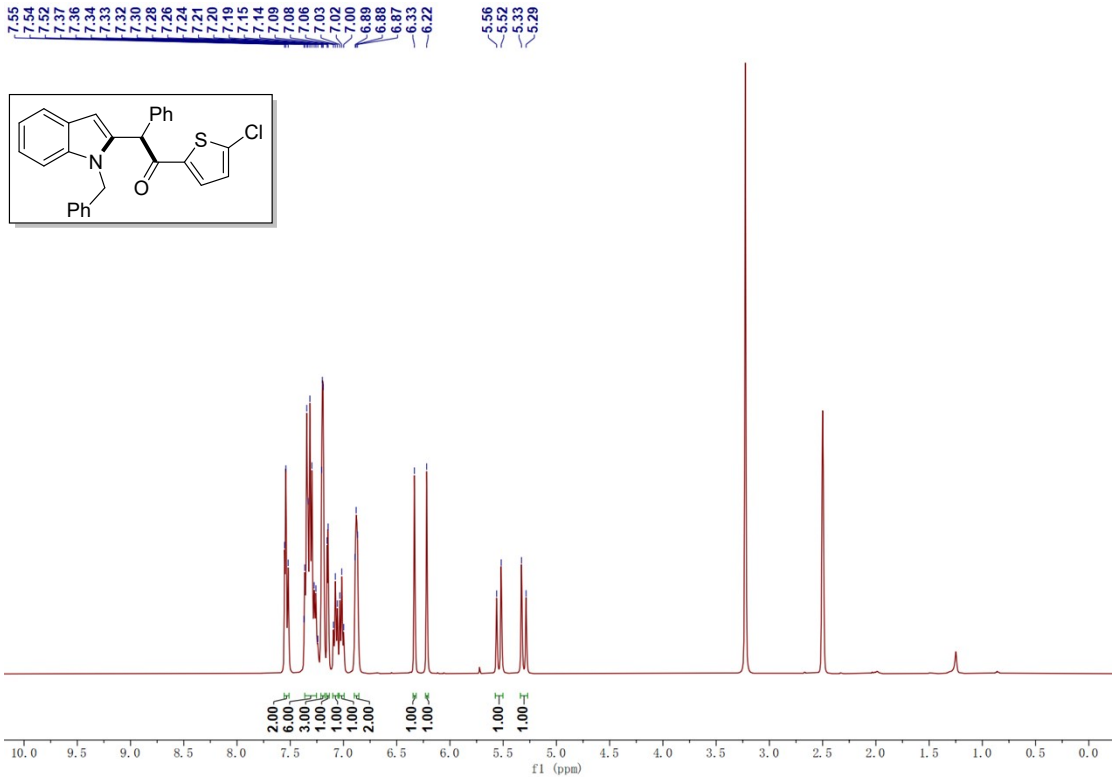
Supplementary Figure 93. ^{1}H NMR Spectrum of 3ap (400 MHz, $CDCl_3$)



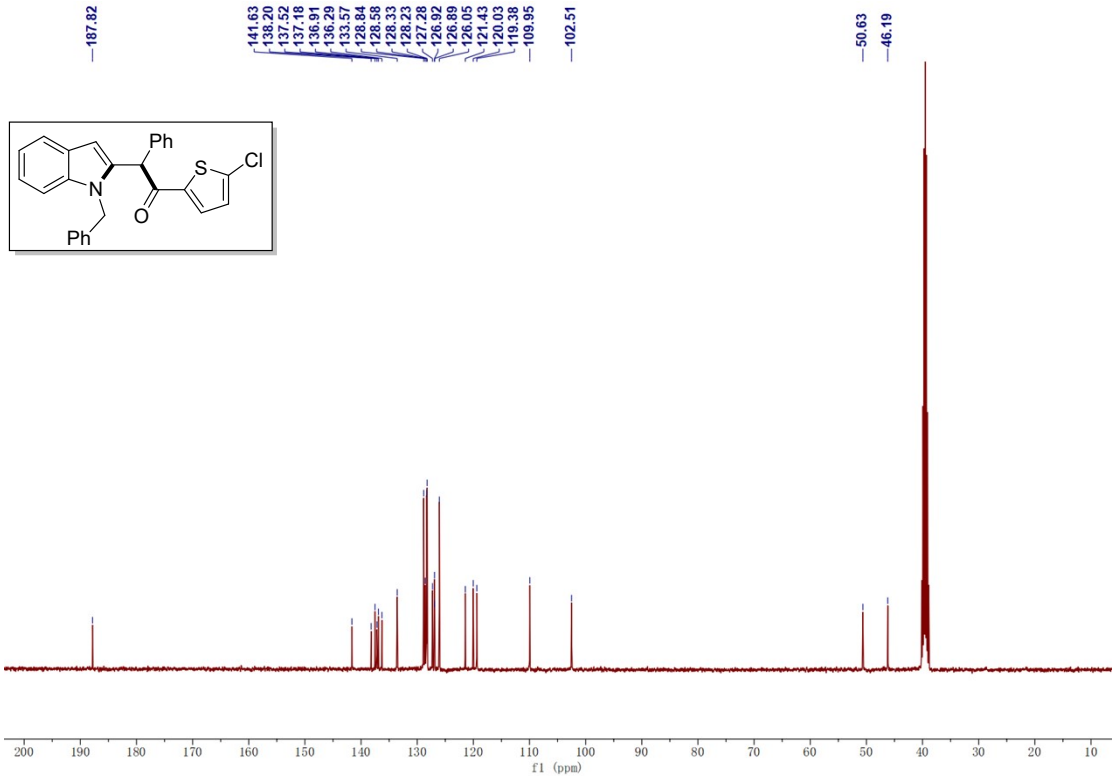
Supplementary Figure 94. ^{13}C NMR Spectrum of 3ap (100 MHz, $CDCl_3$)



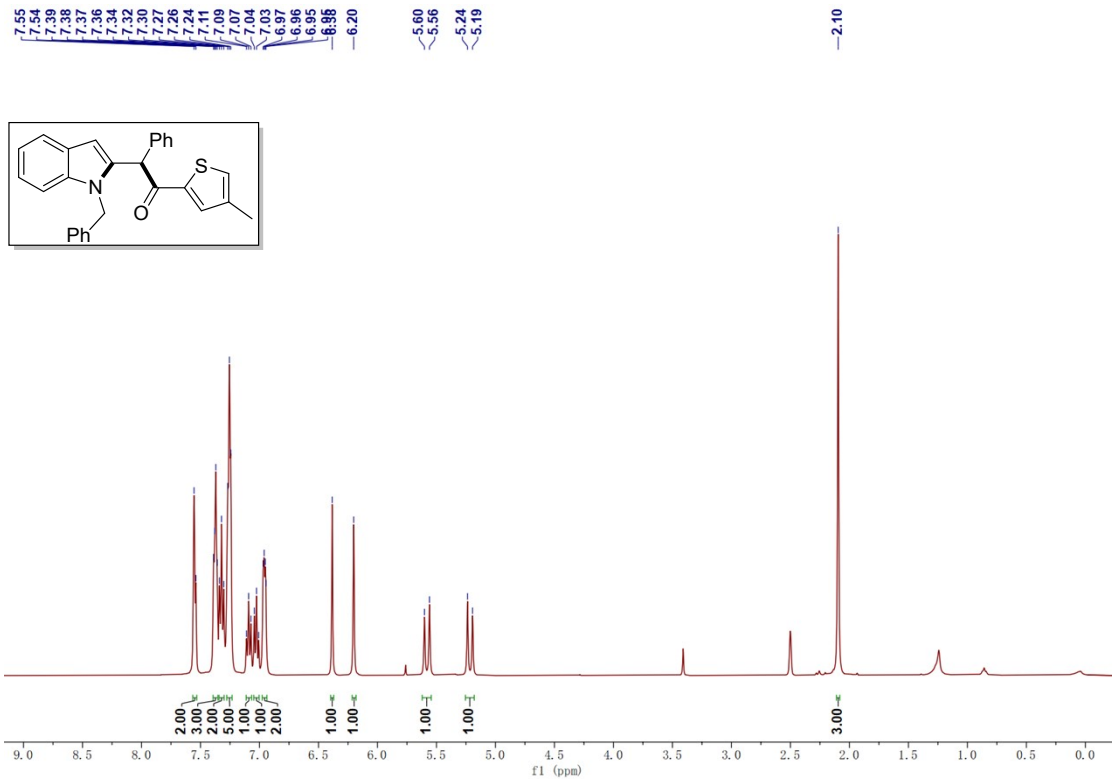
Supplementary Figure 95. ^{1}H NMR Spectrum of 3aq (400 MHz, DMSO-d_6)



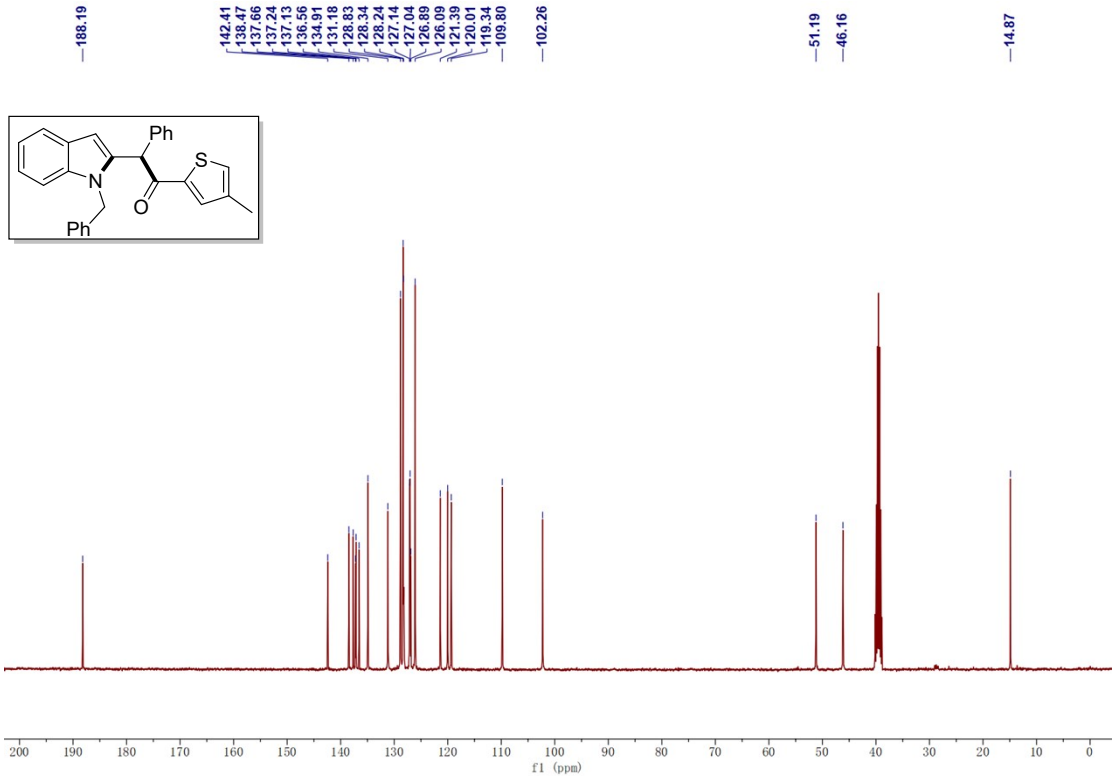
Supplementary Figure 96. ^{13}C NMR Spectrum of 3aq (100 MHz, DMSO-d_6)



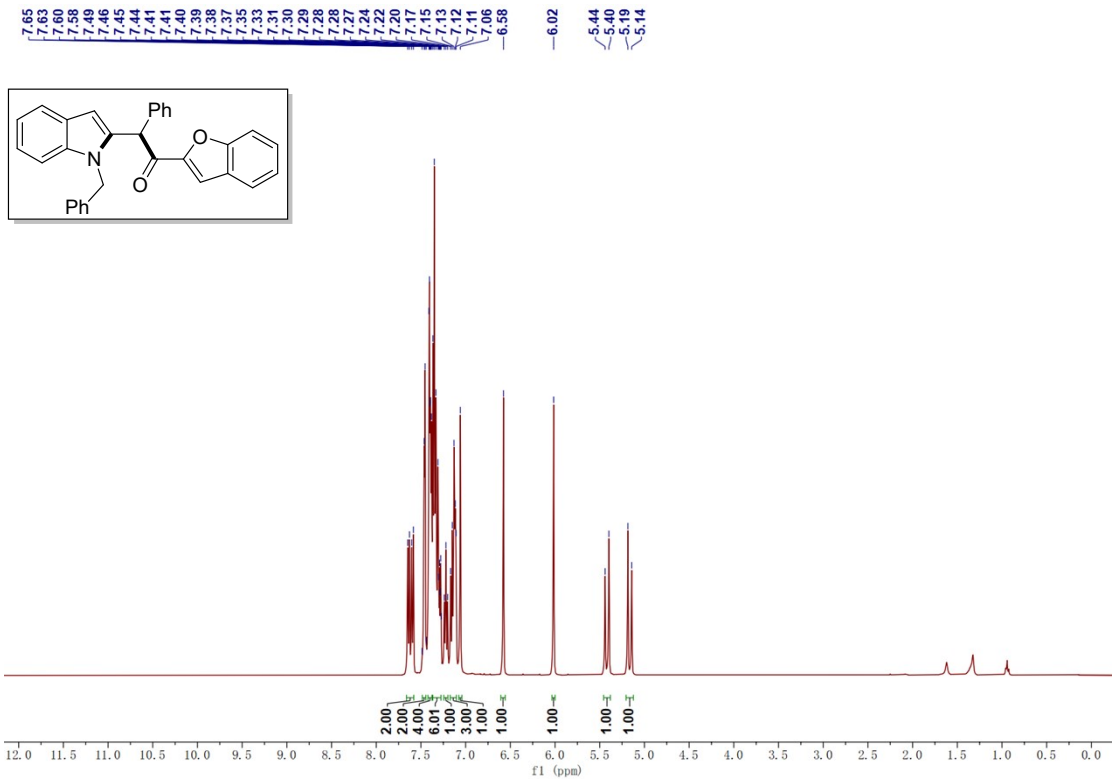
Supplementary Figure 97. ^{1}H NMR Spectrum of 3ar (400 MHz, DMSO-d_6)



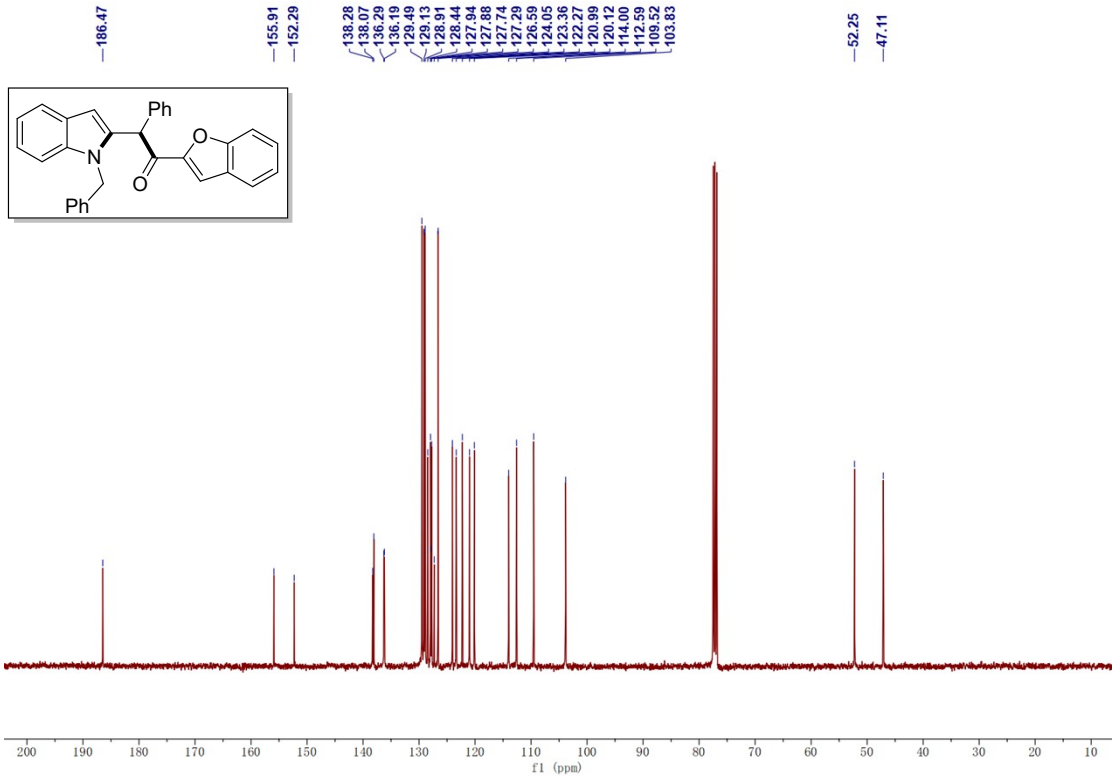
Supplementary Figure 98. ^{13}C NMR Spectrum of 3ar (100 MHz, DMSO-d_6)



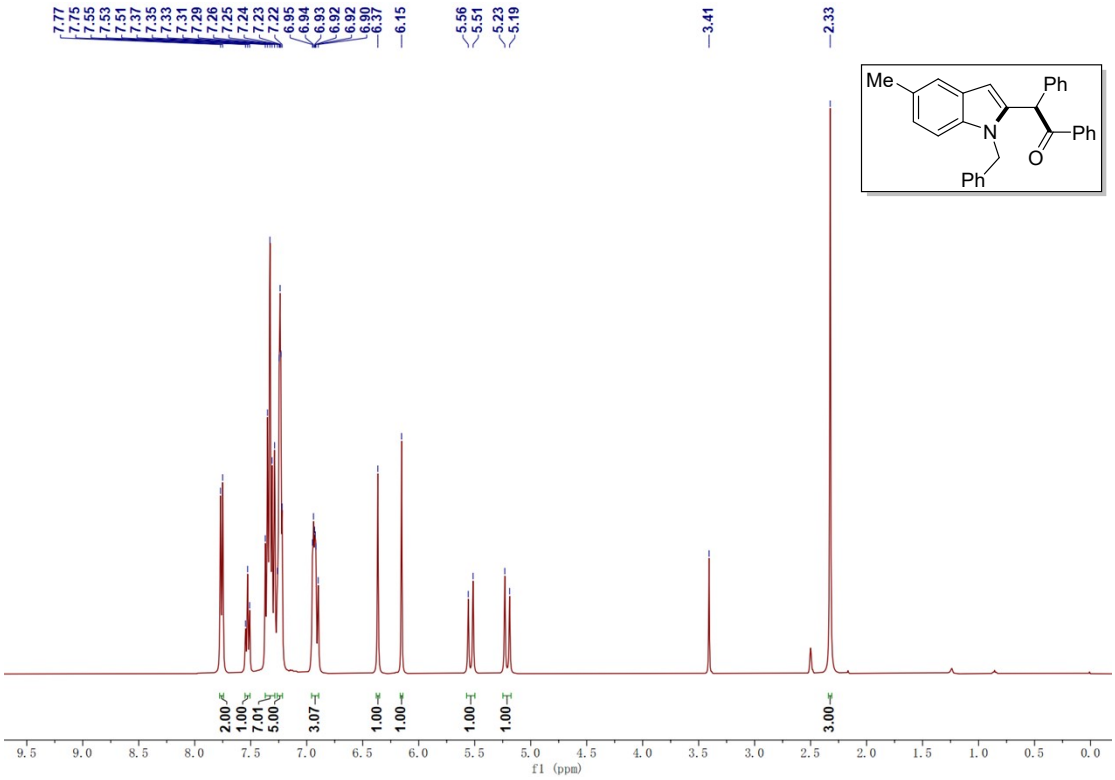
Supplementary Figure 99. ^{1}H NMR Spectrum of 3as (400 MHz, $CDCl_3$)



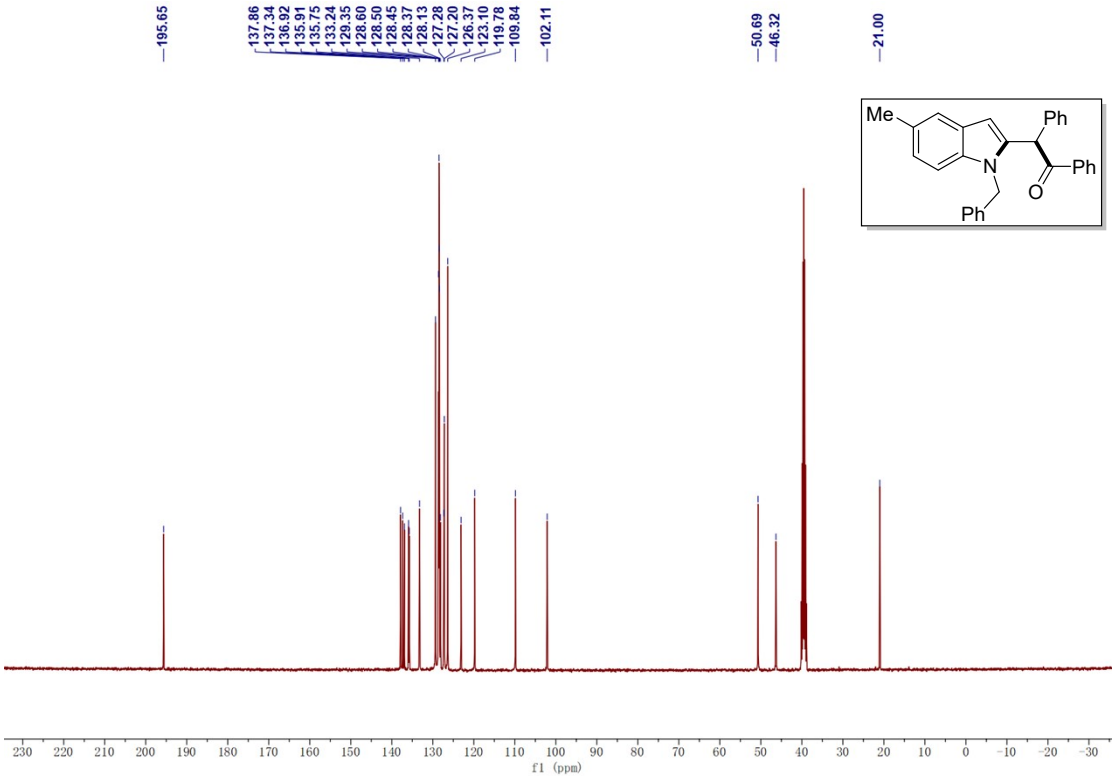
Supplementary Figure 100. ^{13}C NMR Spectrum of 3as (100 MHz, $CDCl_3$)



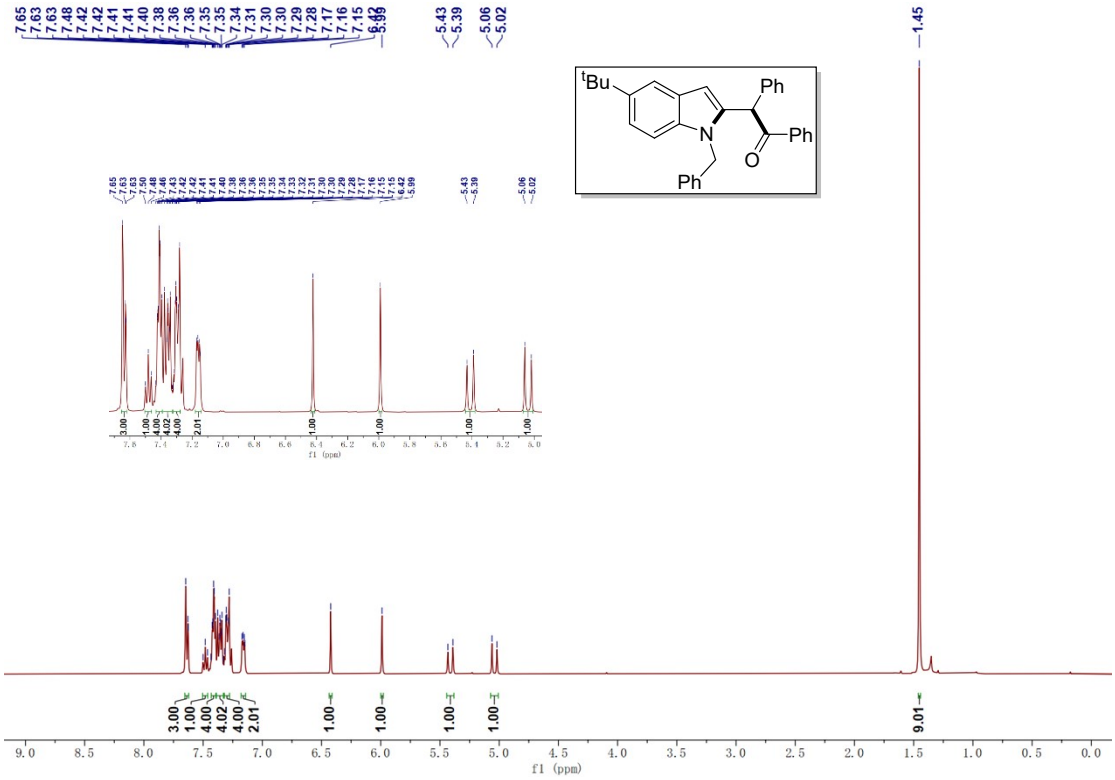
Supplementary Figure 101. ^{1}H NMR Spectrum of 3ba (400 MHz, DMSO-d_6)



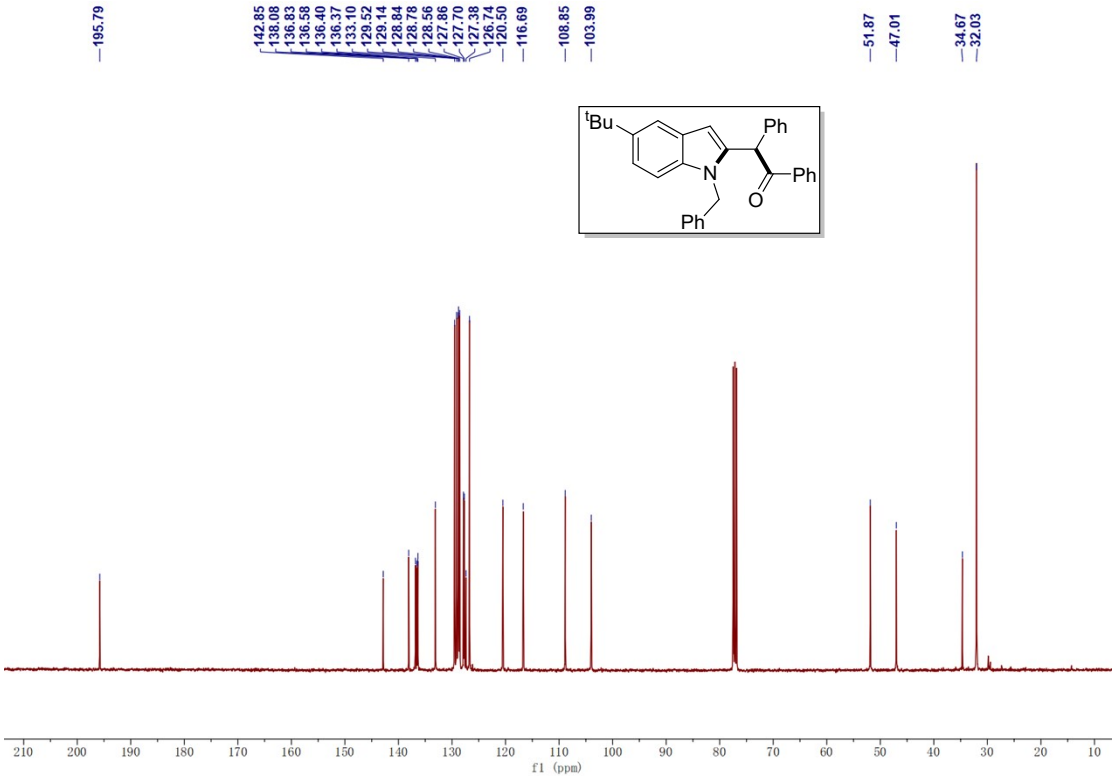
Supplementary Figure 102. ^{13}C NMR Spectrum of 3ba (100 MHz, DMSO-d_6)



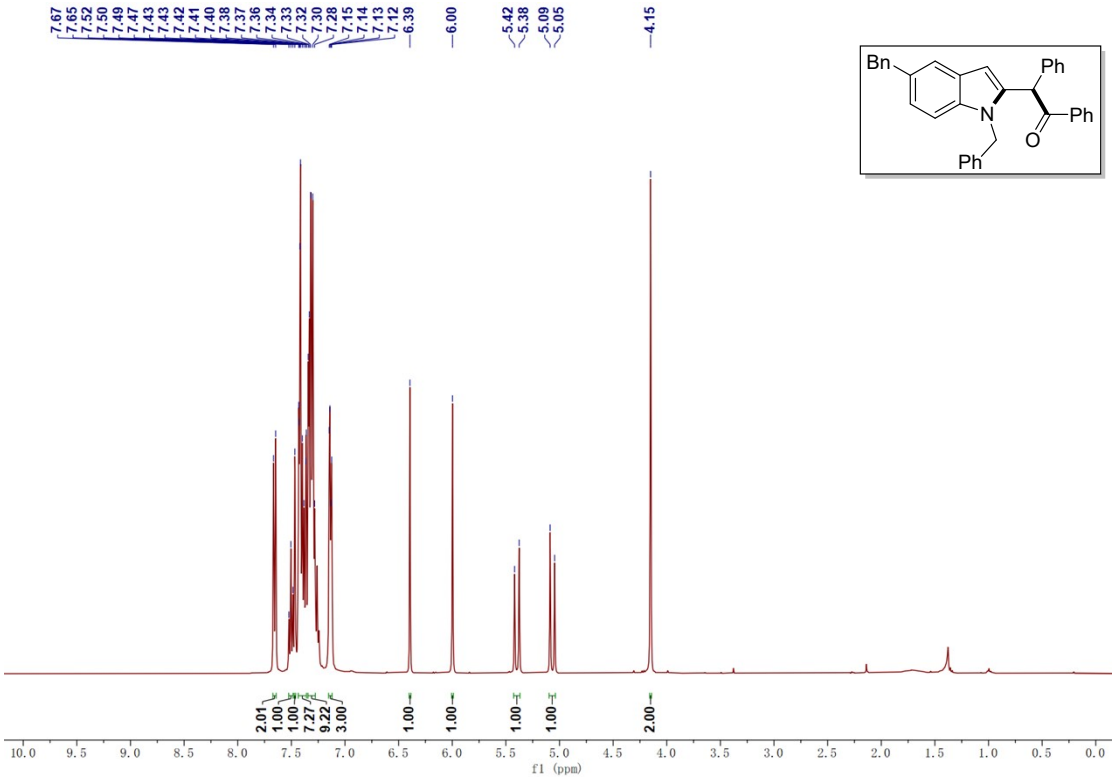
Supplementary Figure 103. ^{1}H NMR Spectrum of 3ca (400 MHz, $CDCl_3$)



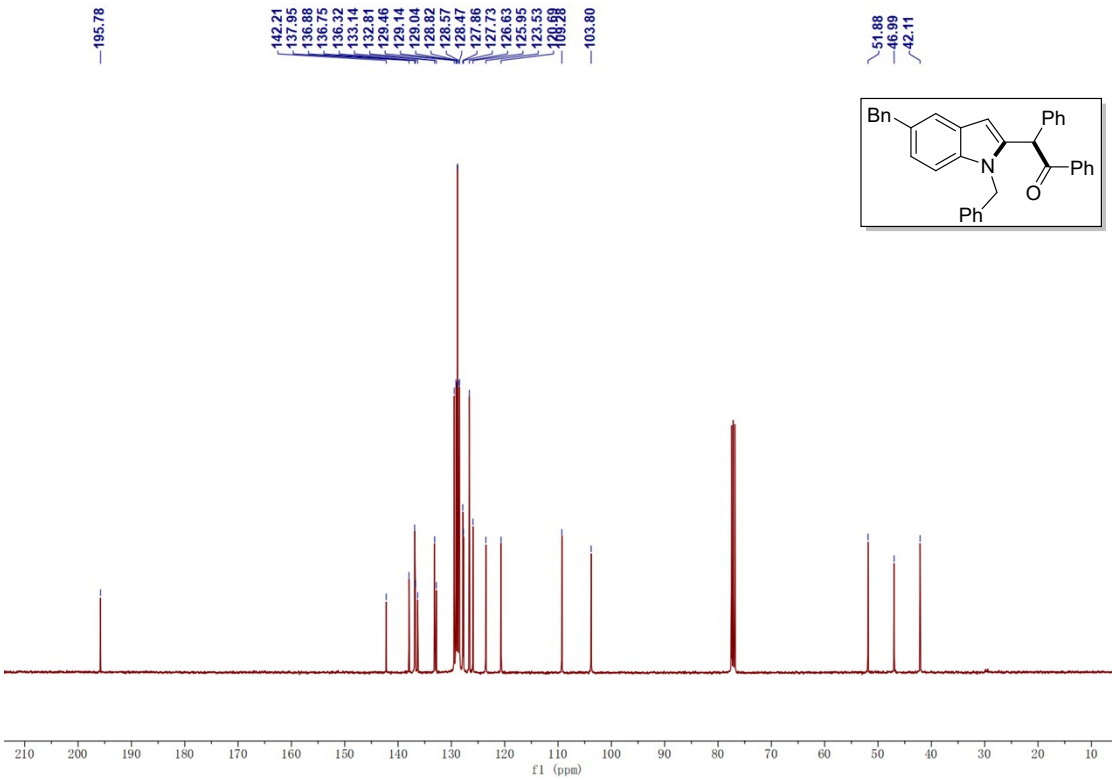
Supplementary Figure 104. ^{13}C NMR Spectrum of 3ca (100 MHz, $CDCl_3$)



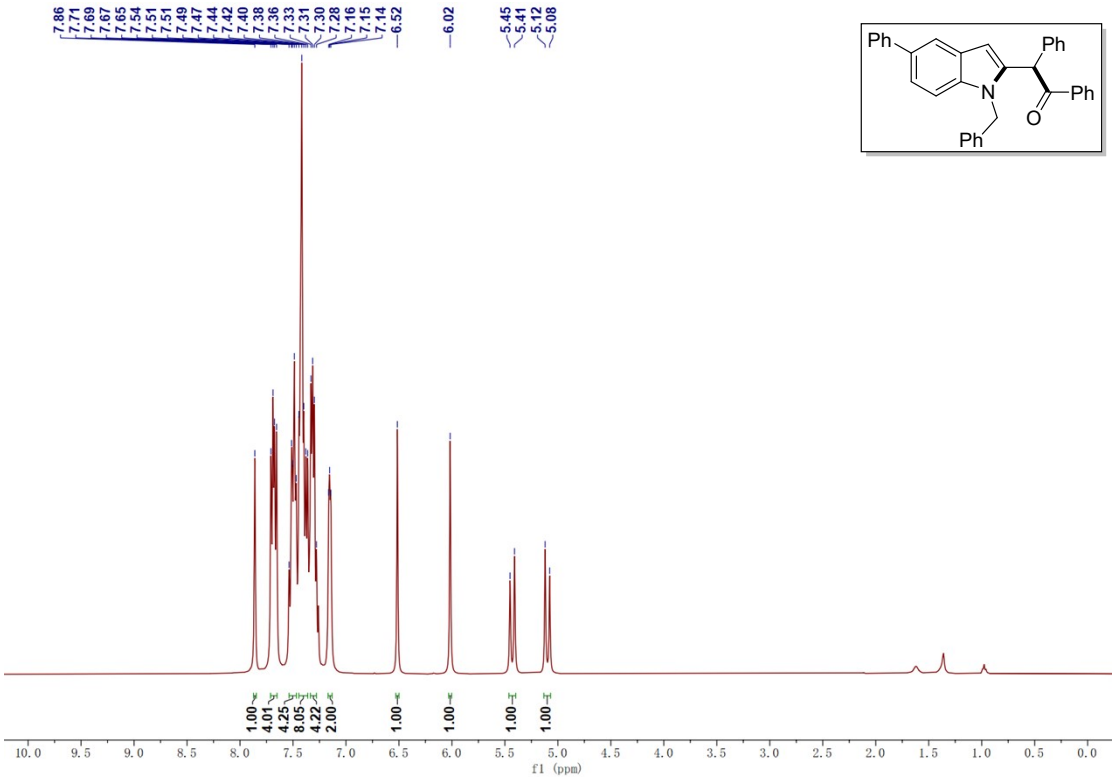
Supplementary Figure 105. ^{1}H NMR Spectrum of 3da (400 MHz, $CDCl_3$)



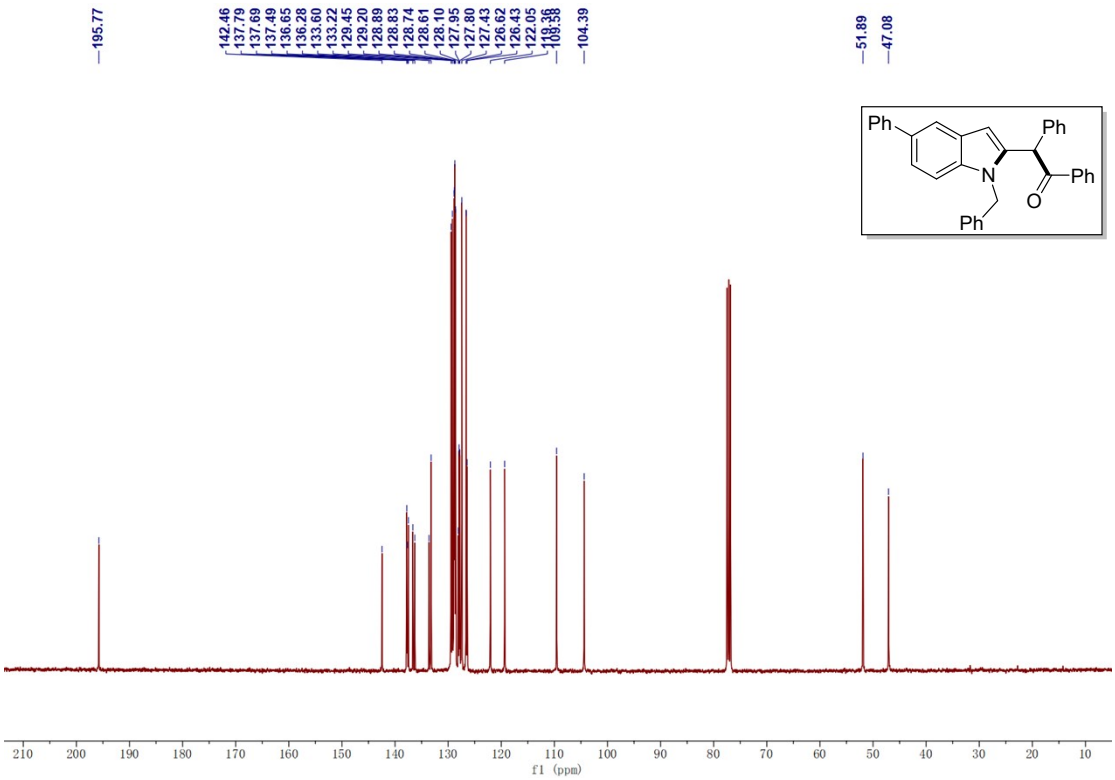
Supplementary Figure 106. ^{13}C NMR Spectrum of 3da (100 MHz, $CDCl_3$)



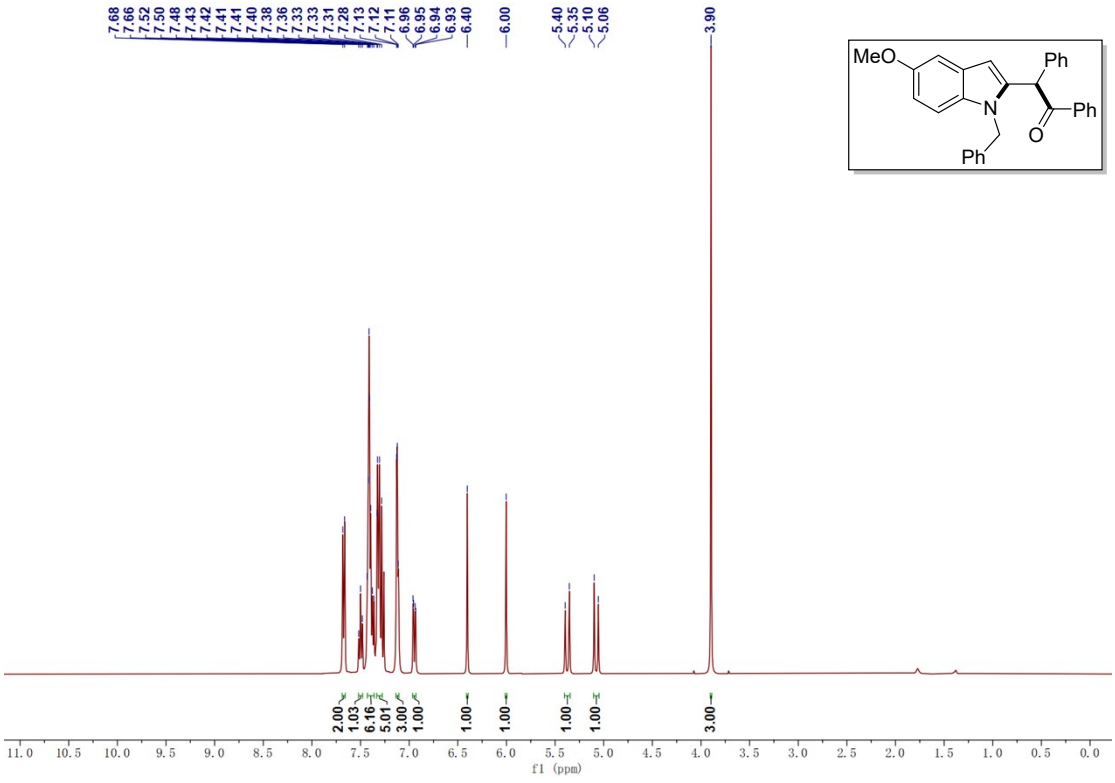
Supplementary Figure 107. ^{1}H NMR Spectrum of 3ea (400 MHz, $CDCl_3$)



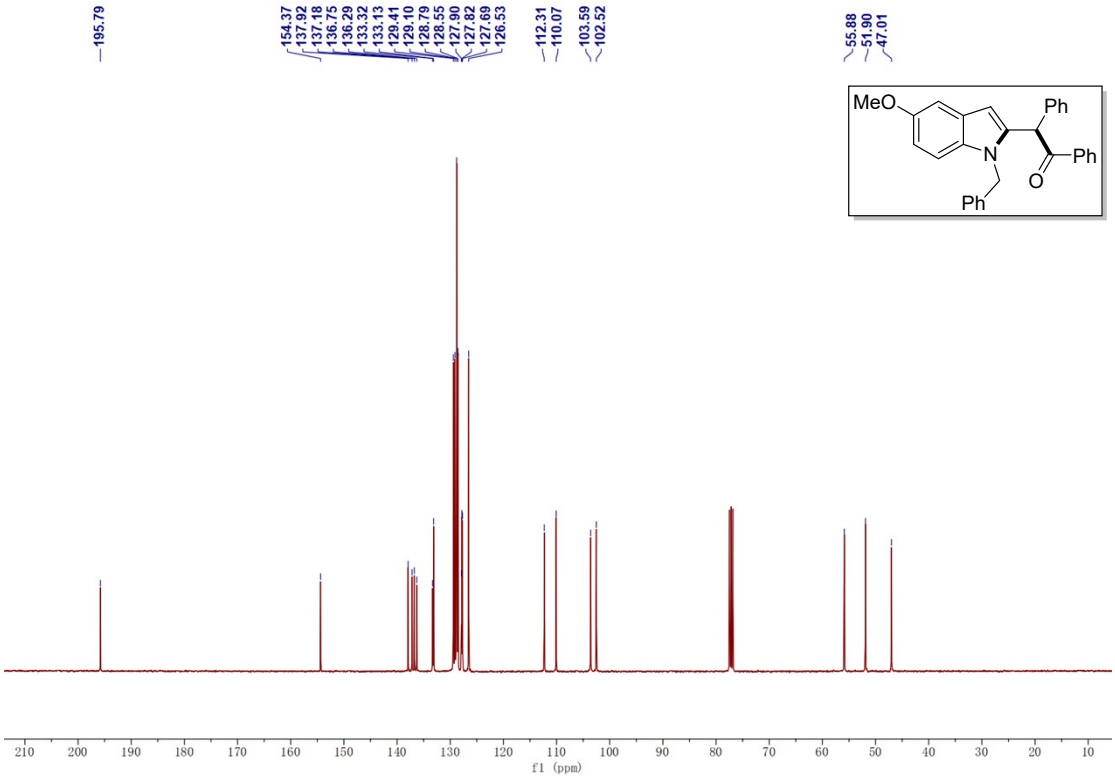
Supplementary Figure 108. ^{13}C NMR Spectrum of 3ea (100 MHz, $CDCl_3$)



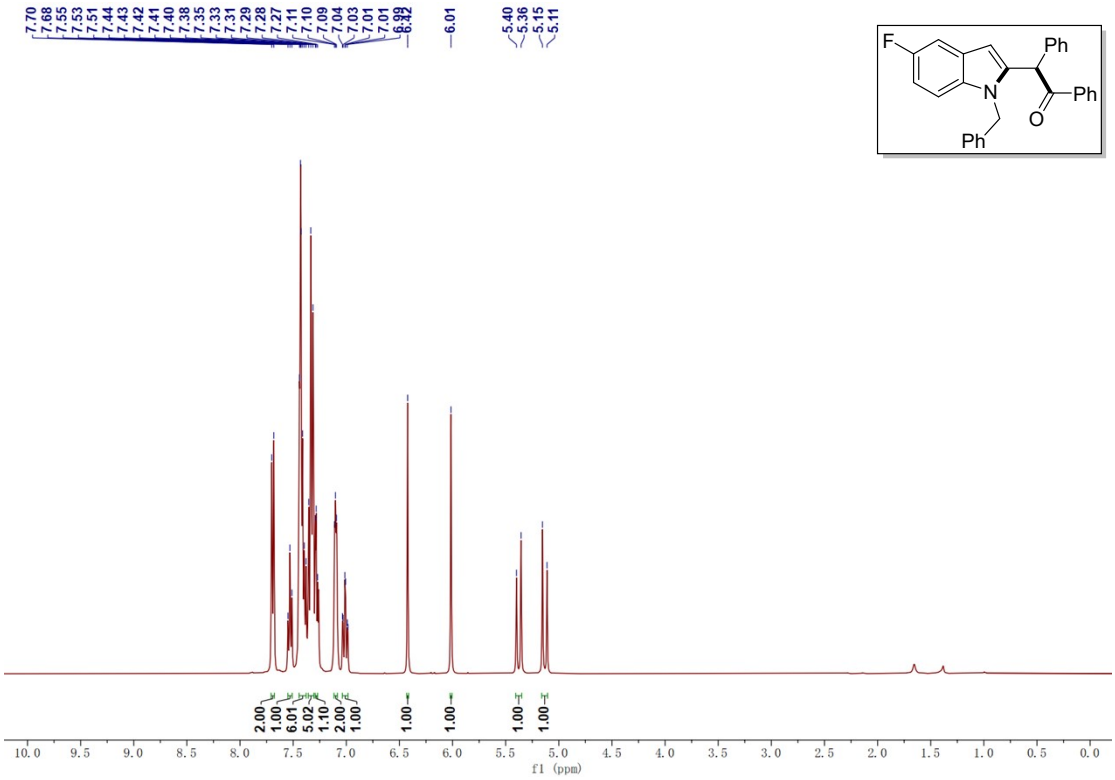
Supplementary Figure 109. ^{1}H NMR Spectrum of 3fa (400 MHz, $CDCl_3$)



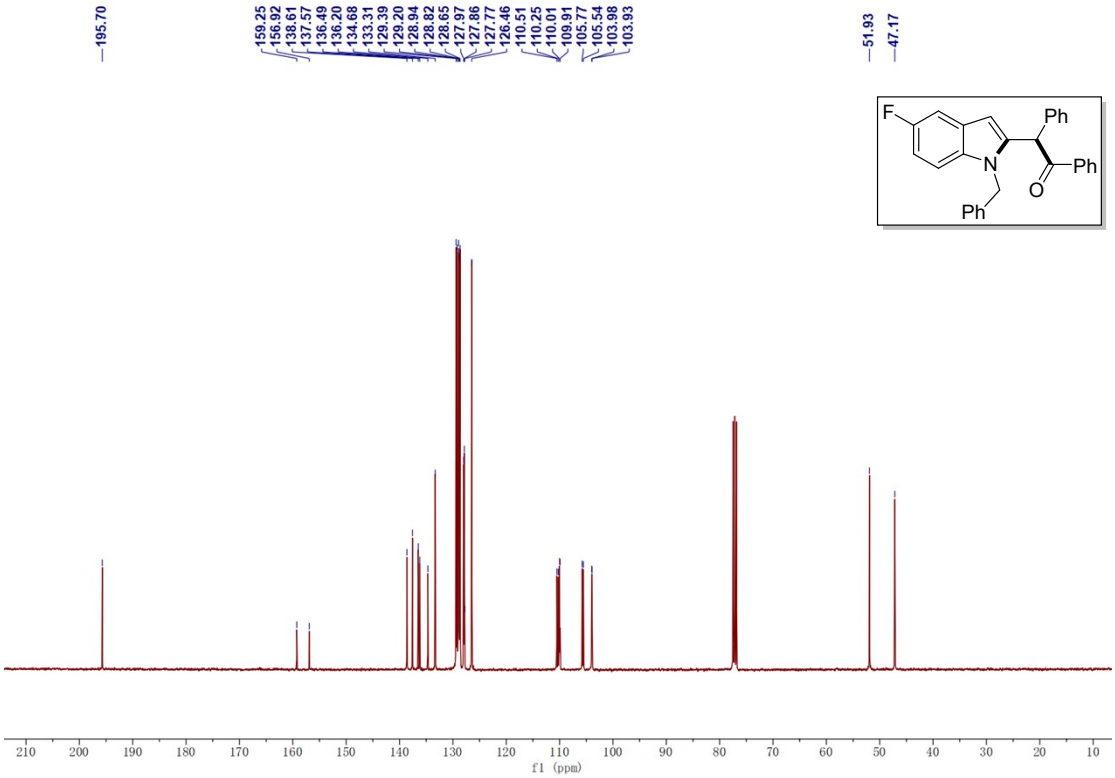
Supplementary Figure 110. ^{13}C NMR Spectrum of 3fa (100 MHz, $CDCl_3$)



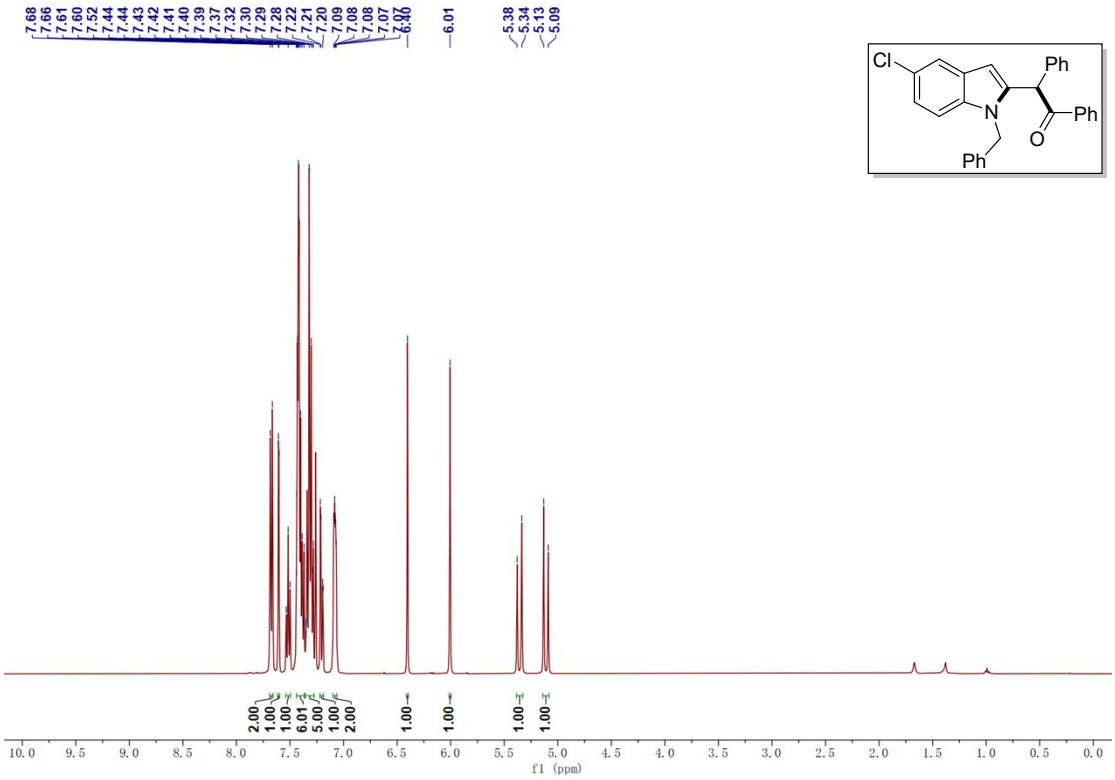
Supplementary Figure 111. ^{1}H NMR Spectrum of 3ga (400 MHz, $CDCl_3$)



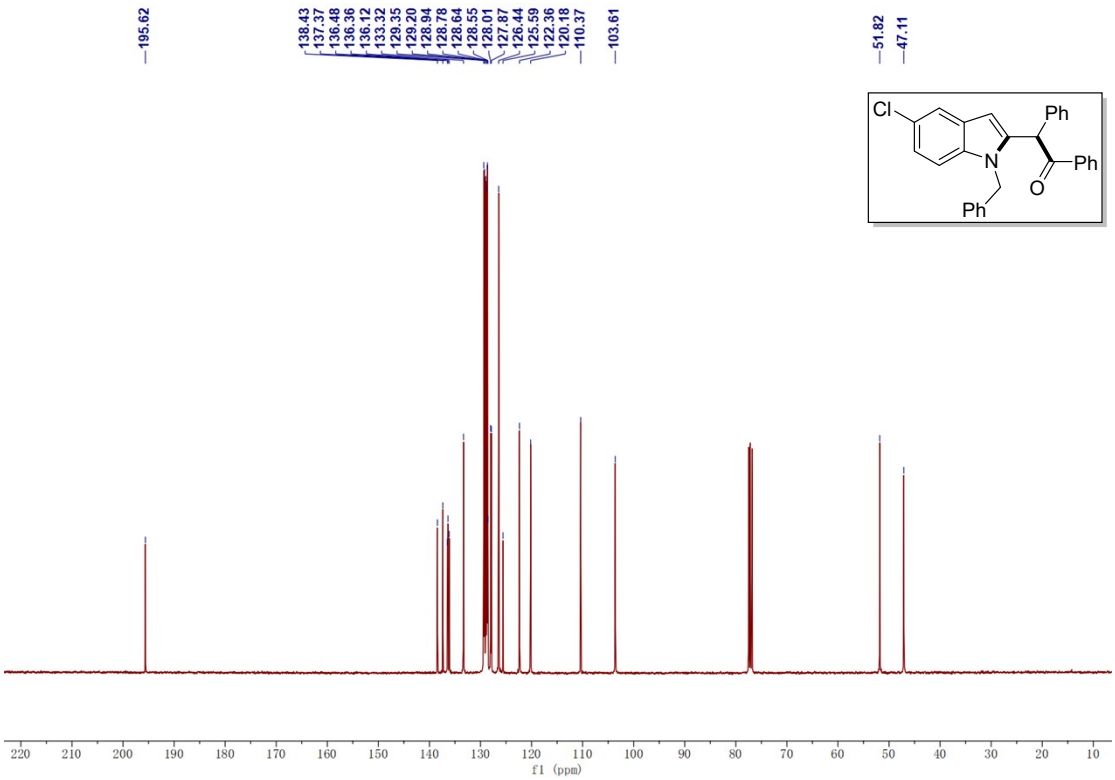
Supplementary Figure 112. ^{13}C NMR Spectrum of 3ga (100 MHz, $CDCl_3$)



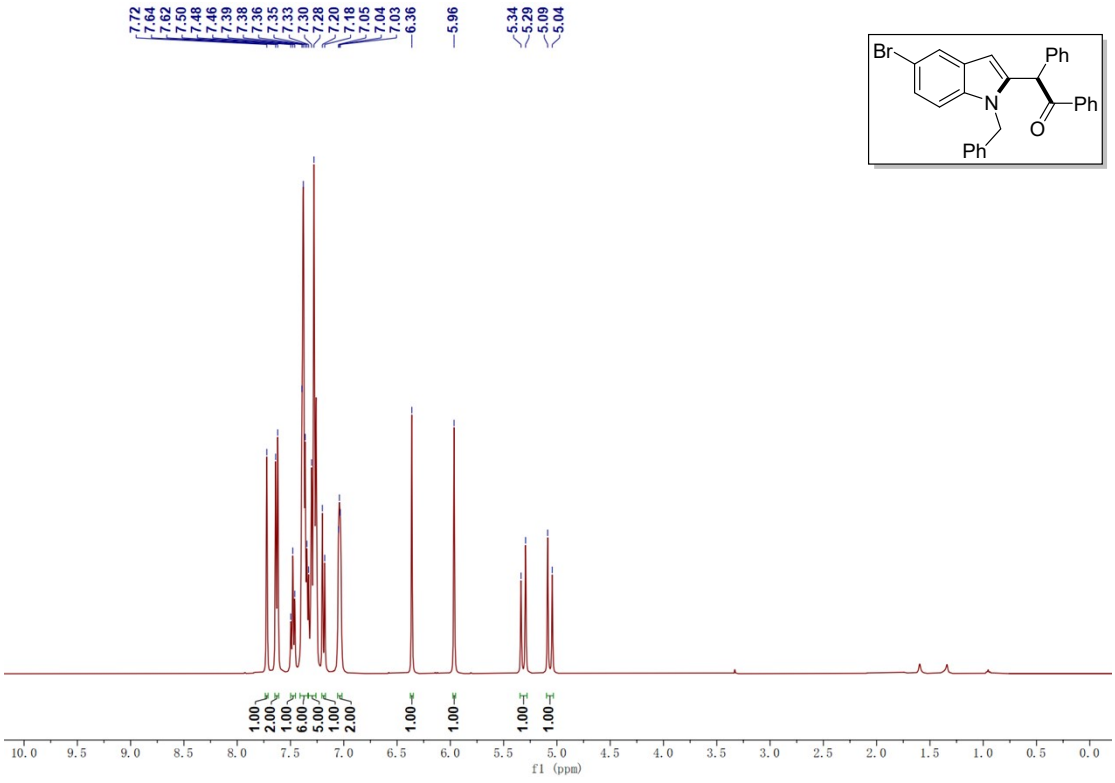
Supplementary Figure 113. ^{1}H NMR Spectrum of 3ha (400 MHz, $CDCl_3$)



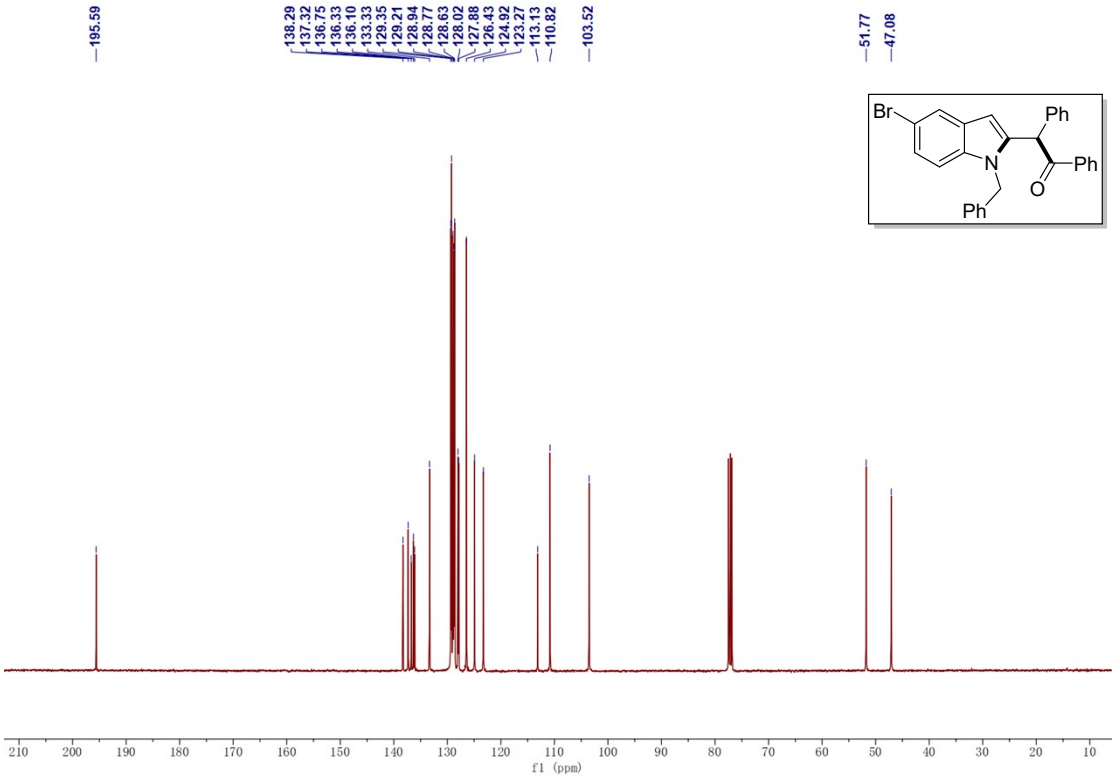
Supplementary Figure 114. ^{13}C NMR Spectrum of 3ha (100 MHz, $CDCl_3$)



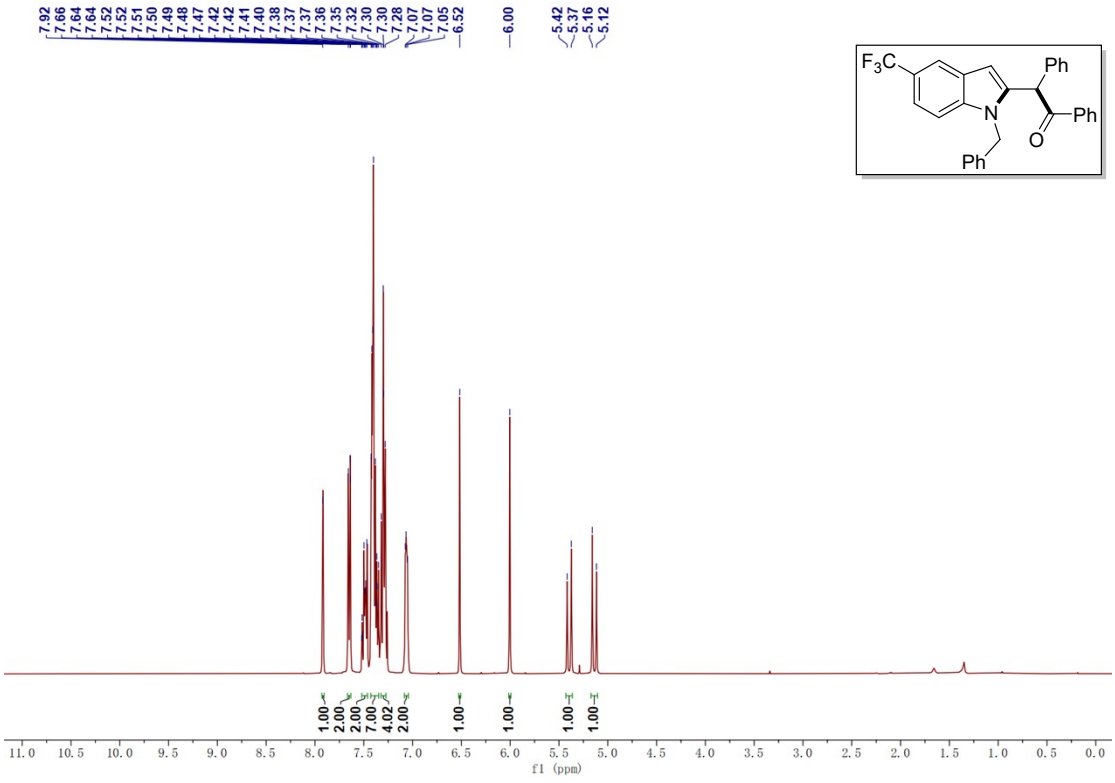
Supplementary Figure 115. ^{1}H NMR Spectrum of 3ia (400 MHz, $CDCl_3$)



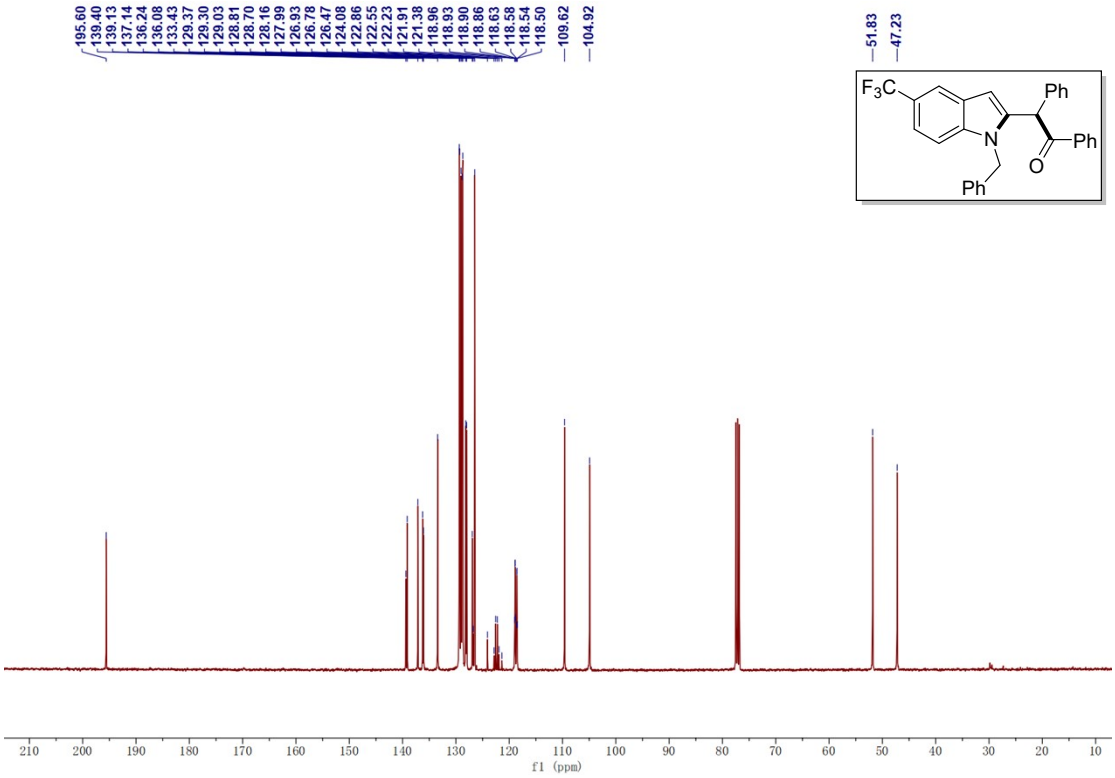
Supplementary Figure 116. ^{13}C NMR Spectrum of 3ia (100 MHz, $CDCl_3$)



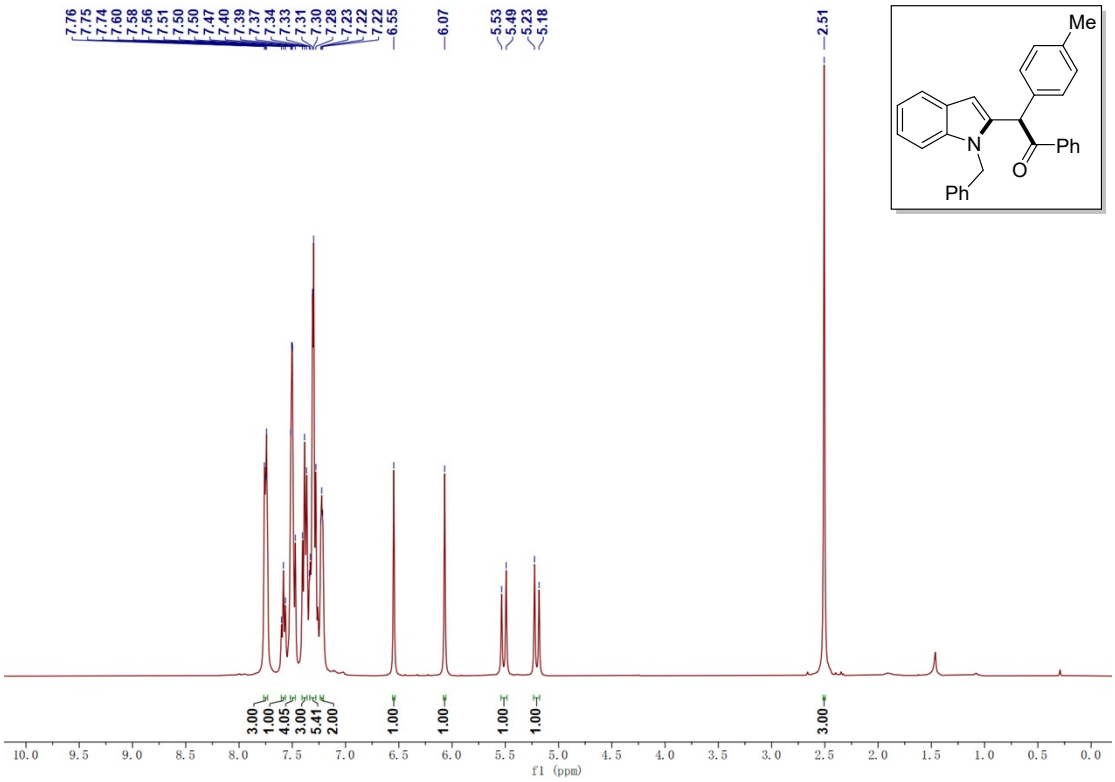
Supplementary Figure 117. ^{1}H NMR Spectrum of 3ja (400 MHz, $CDCl_3$)



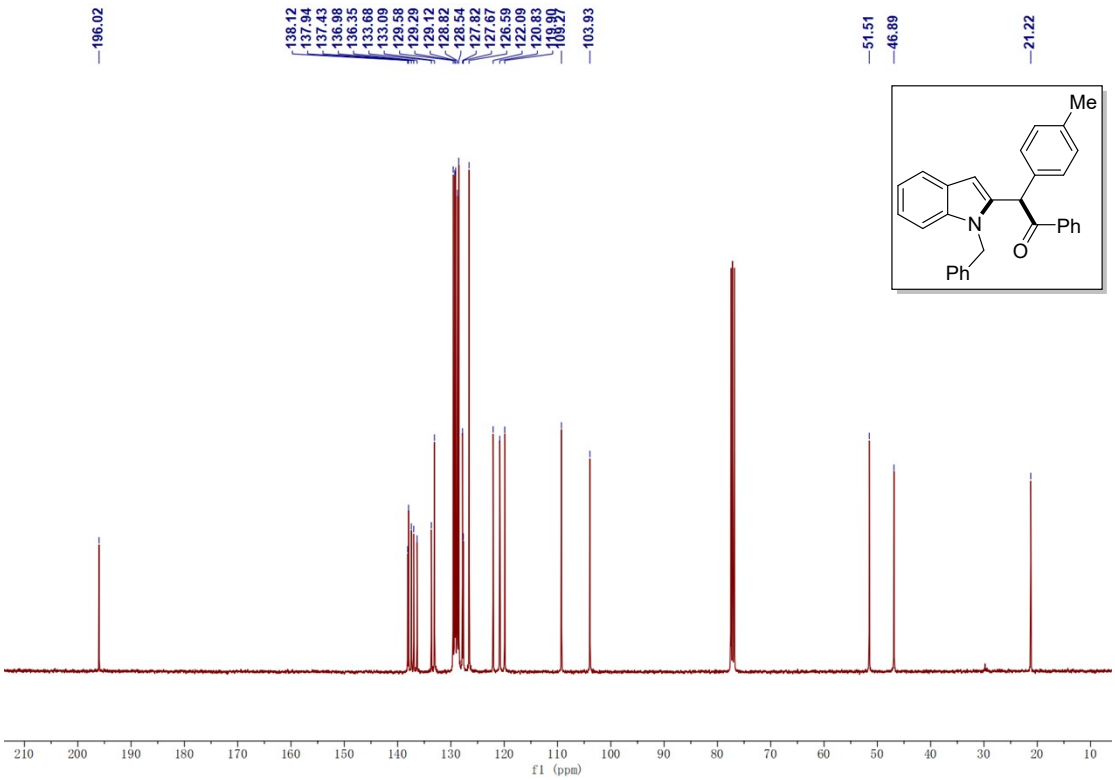
Supplementary Figure 118. ^{13}C NMR Spectrum of 3ja (100 MHz, $CDCl_3$)



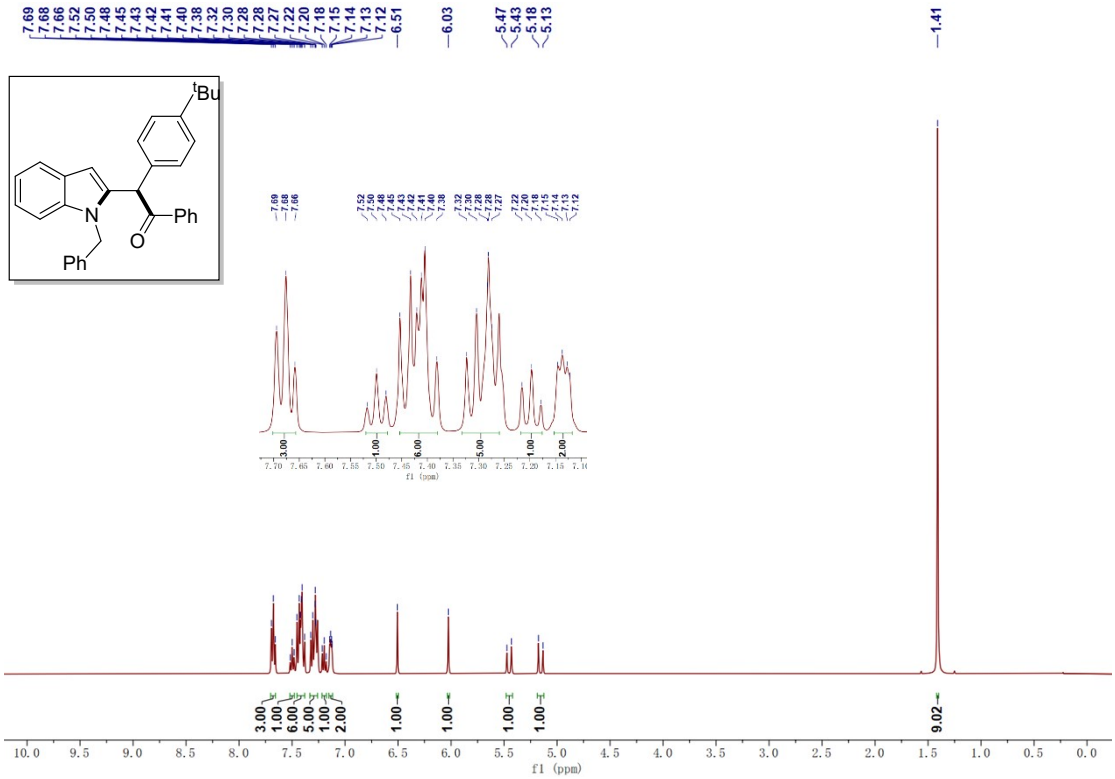
Supplementary Figure 119. ^{1}H NMR Spectrum of 3ka (400 MHz, $CDCl_3$)



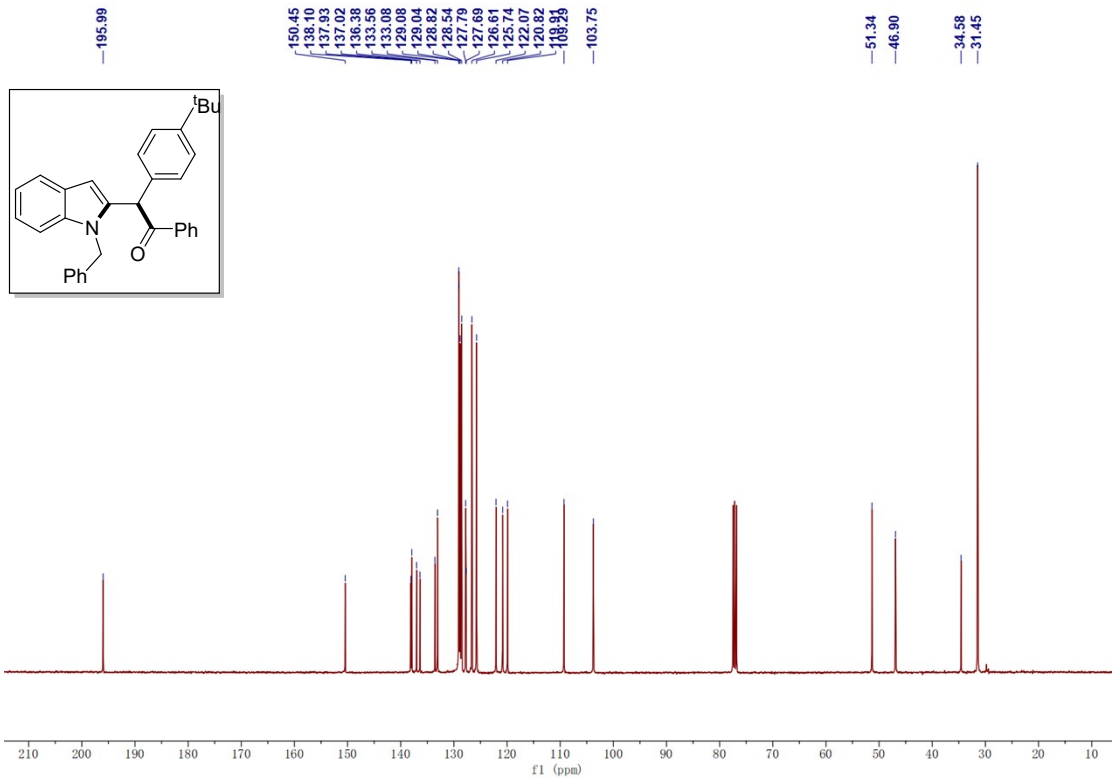
Supplementary Figure 120. ^{13}C NMR Spectrum of 3ka (100 MHz, $CDCl_3$)



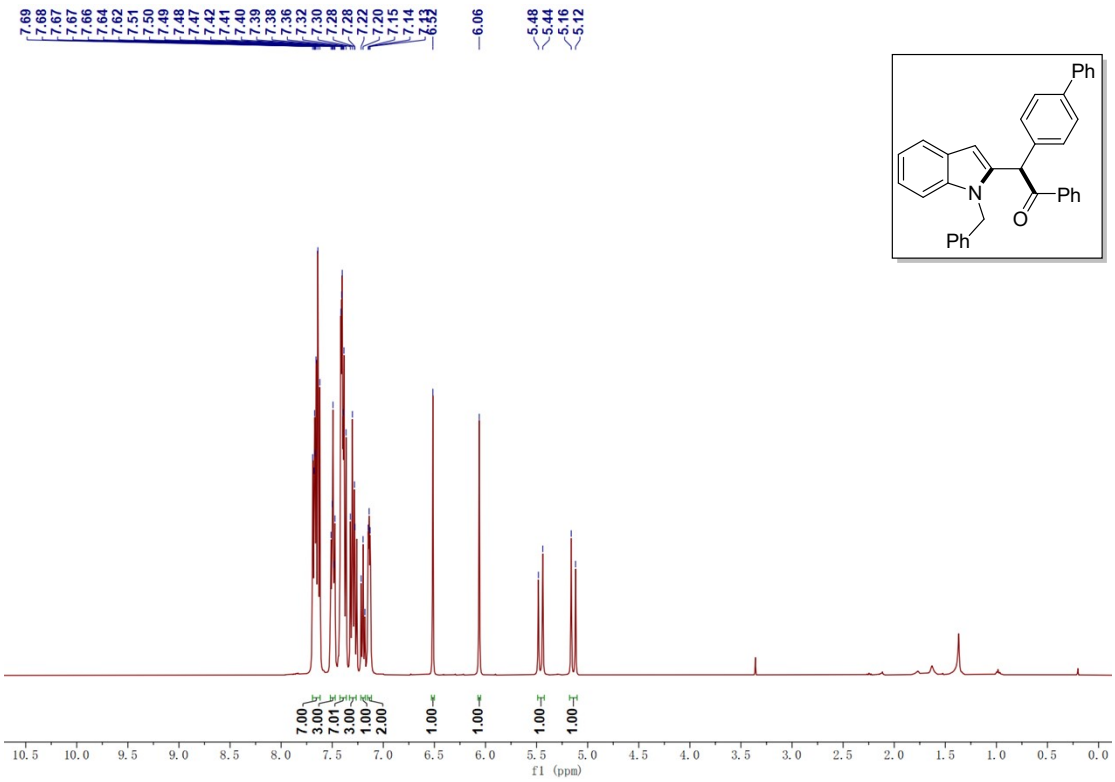
Supplementary Figure 121. ^{1}H NMR Spectrum of 3la (400 MHz, $CDCl_3$)



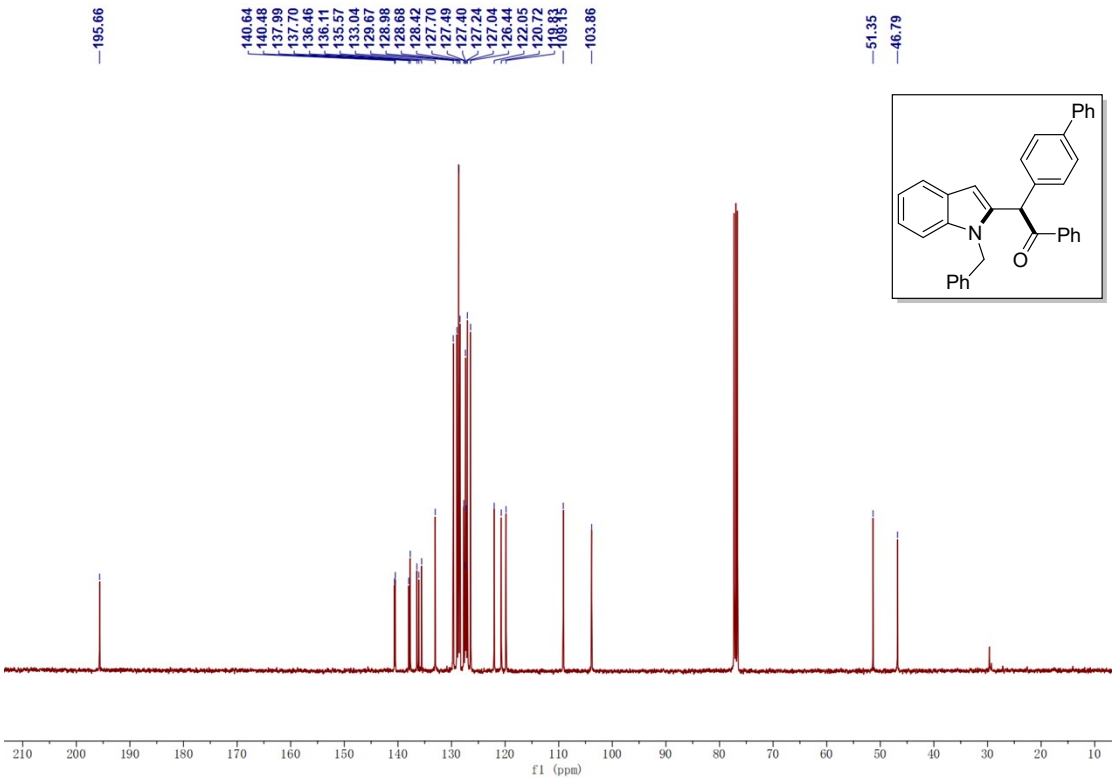
Supplementary Figure 122. ^{13}C NMR Spectrum of 3la (100 MHz, $CDCl_3$)



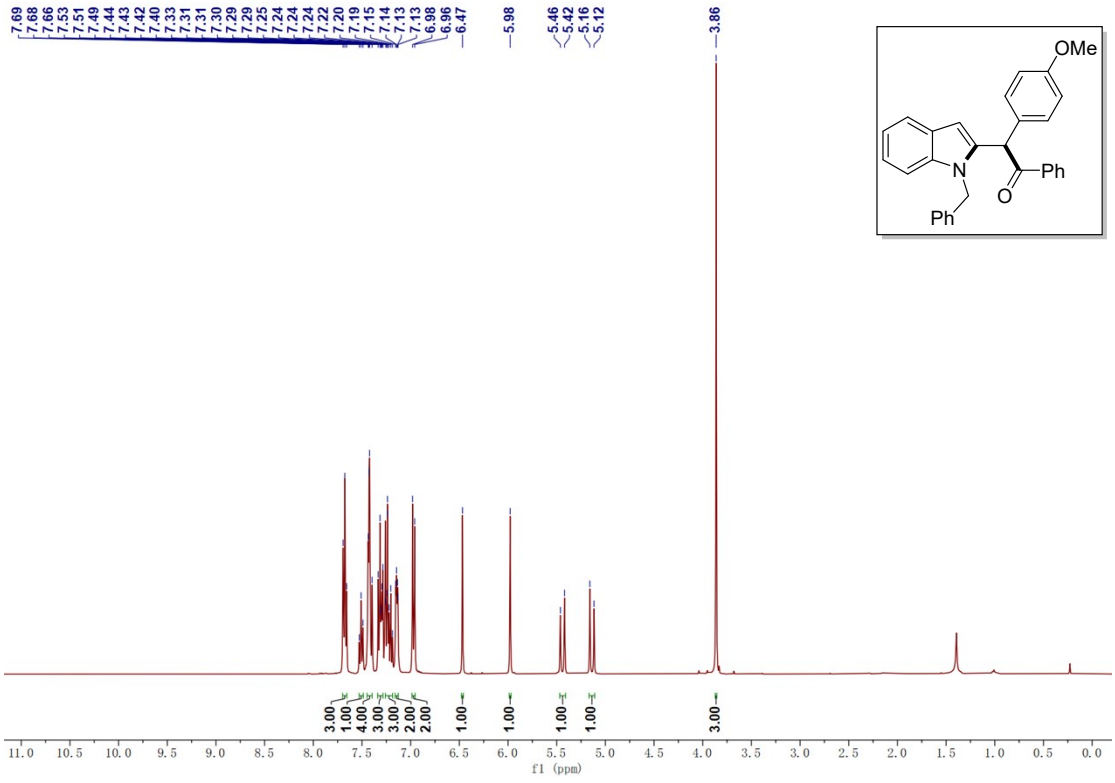
Supplementary Figure 123. ^{1}H NMR Spectrum of 3ma (400 MHz, $CDCl_3$)



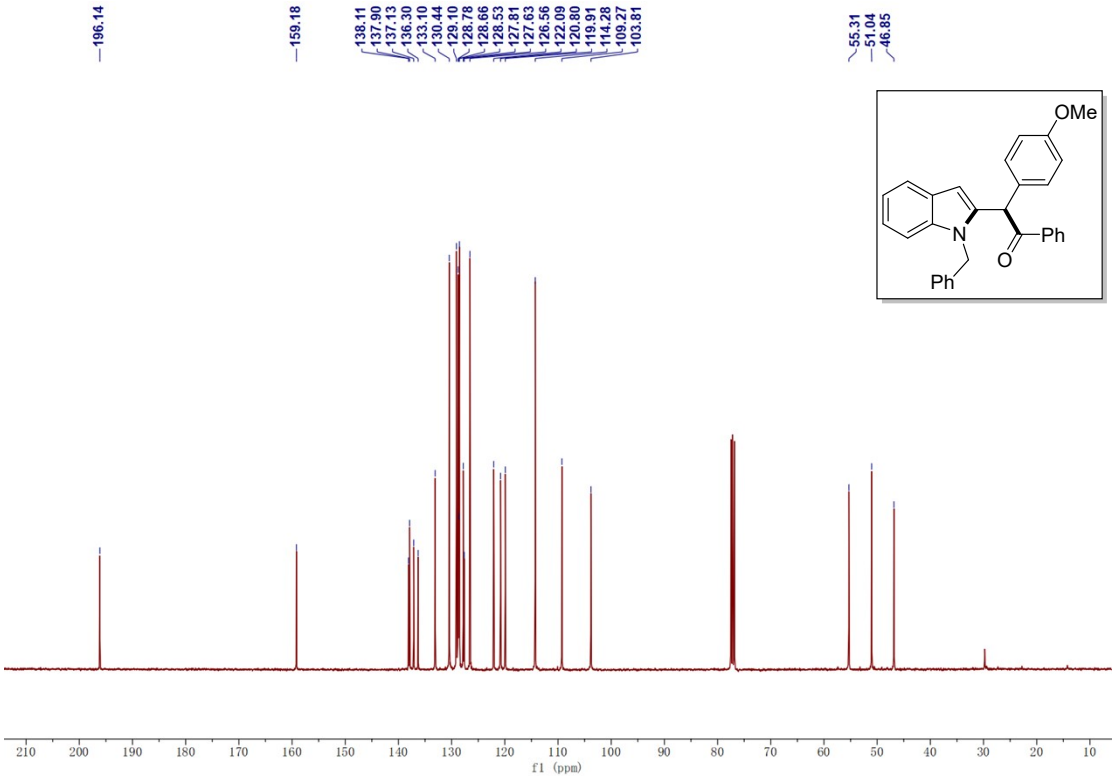
Supplementary Figure 124. ^{13}C NMR Spectrum of 3ma (100 MHz, $CDCl_3$)



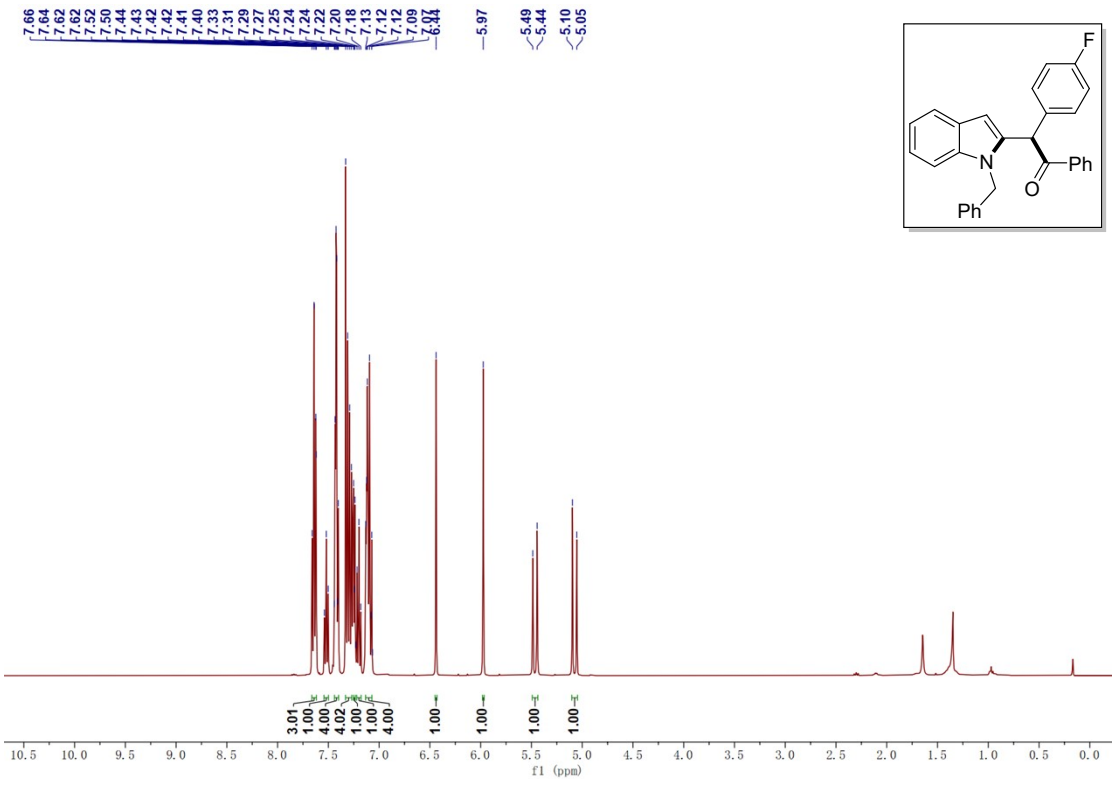
Supplementary Figure 125. ^{1}H NMR Spectrum of 3na (400 MHz, $CDCl_3$)



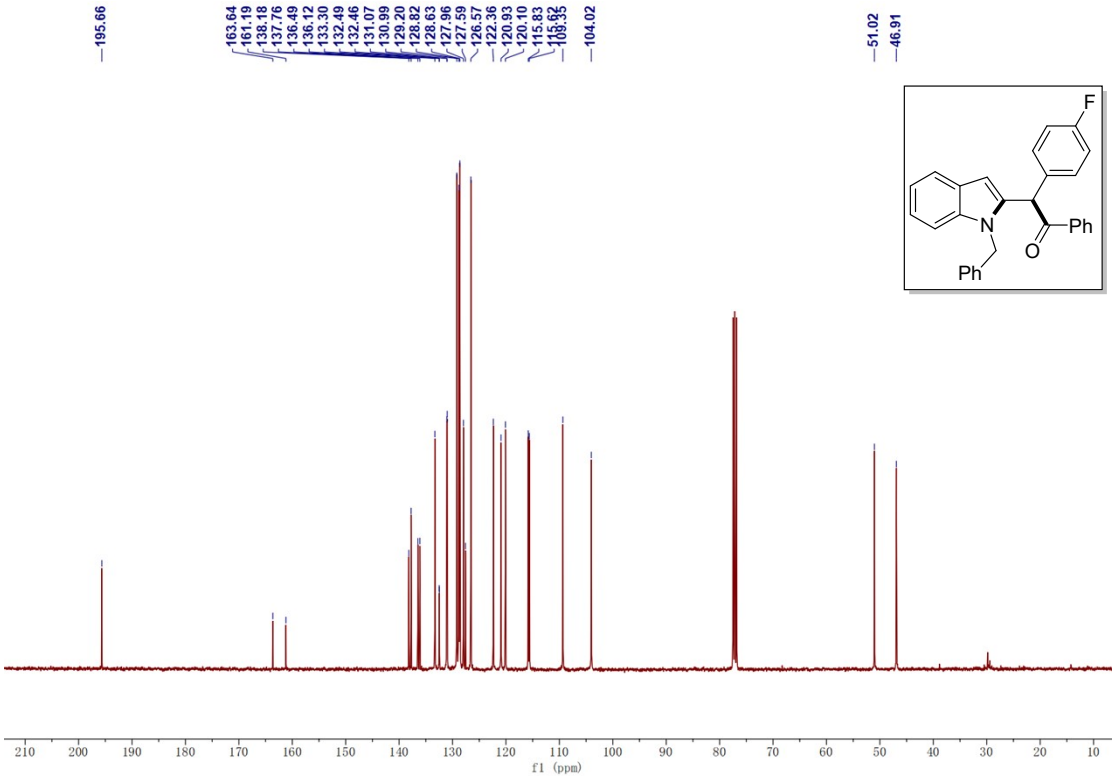
Supplementary Figure 126. ^{13}C NMR Spectrum of 3na (100 MHz, $CDCl_3$)



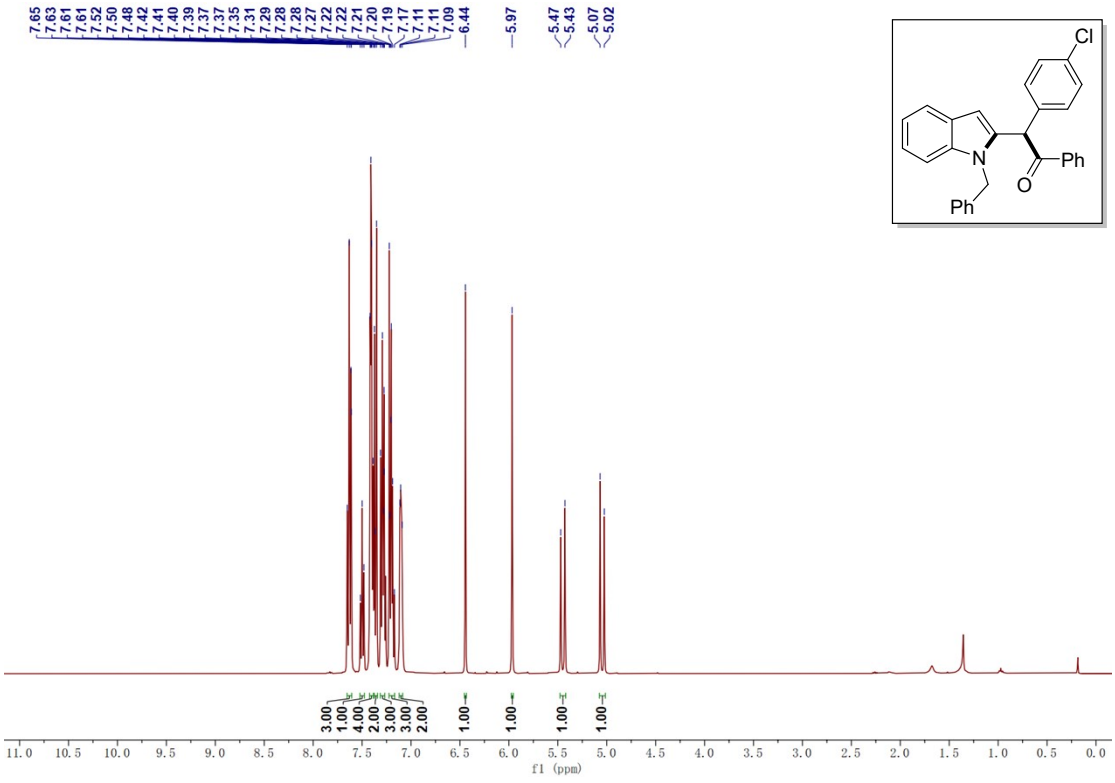
Supplementary Figure 127. ^{1}H NMR Spectrum of 3oa (400 MHz, $CDCl_3$)



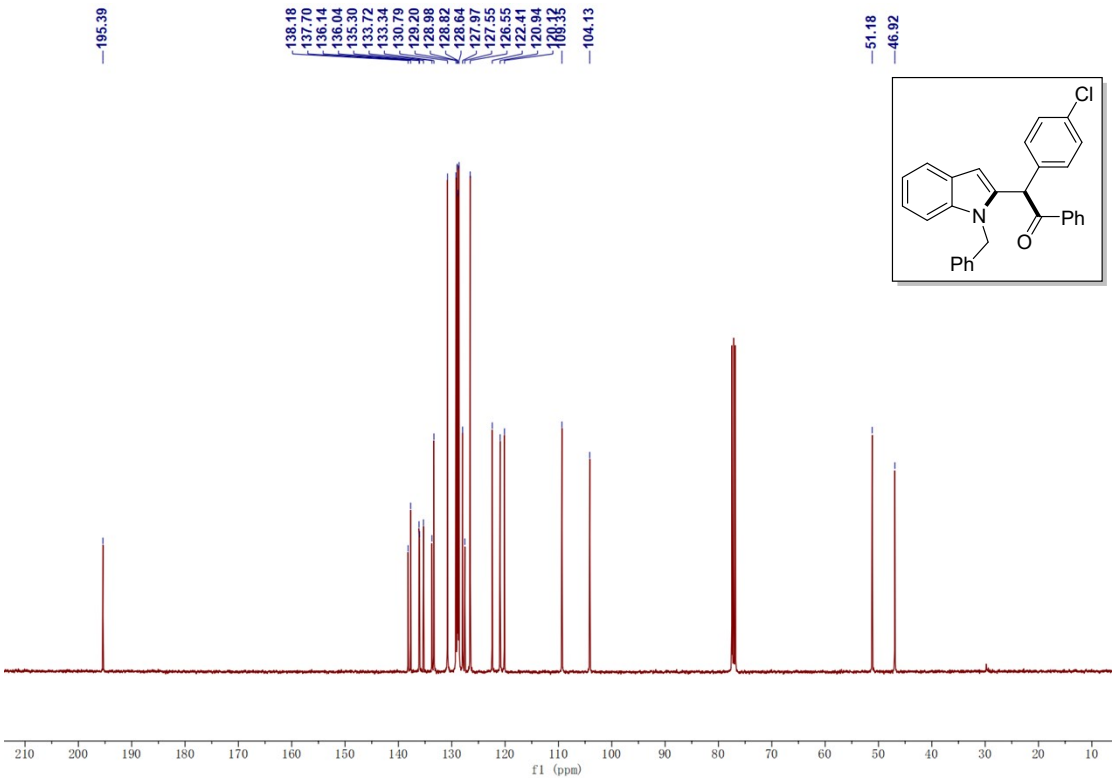
Supplementary Figure 128. ^{13}C NMR Spectrum of 3oa (100 MHz, $CDCl_3$)



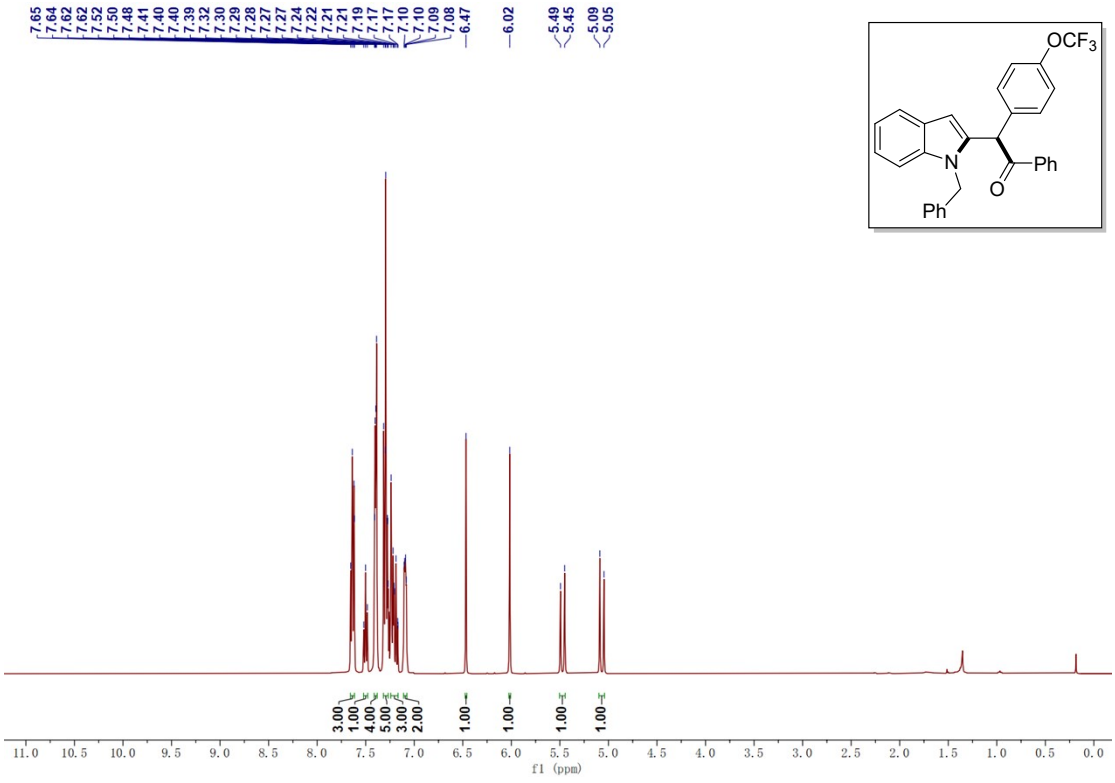
Supplementary Figure 129. ^{1}H NMR Spectrum of 3pa (400 MHz, $CDCl_3$)



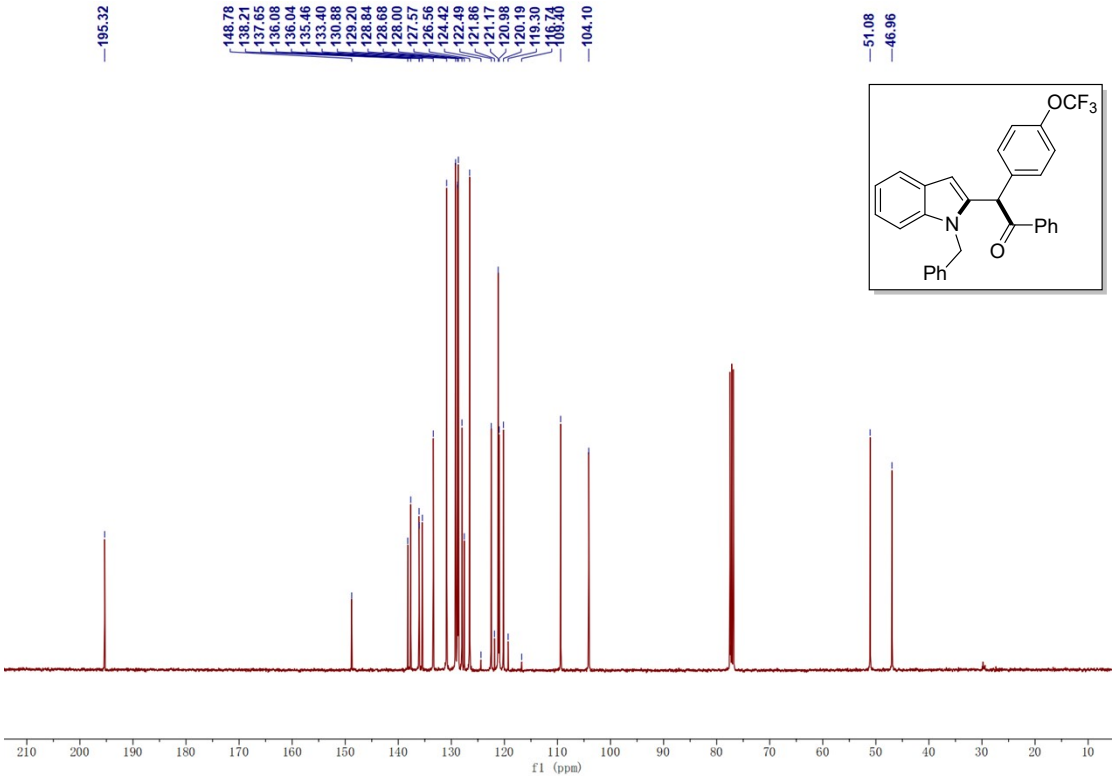
Supplementary Figure 130. ^{13}C NMR Spectrum of 3pa (100 MHz, $CDCl_3$)



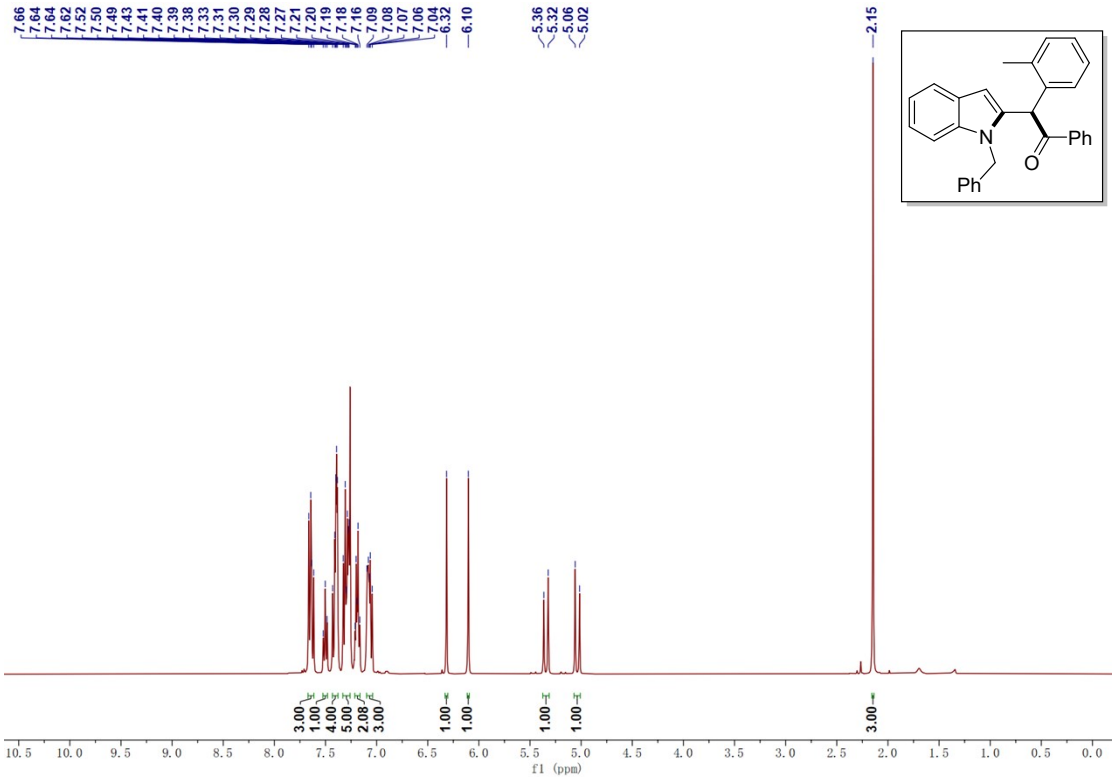
Supplementary Figure 131. ^{1}H NMR Spectrum of 3qa (400 MHz, $CDCl_3$)



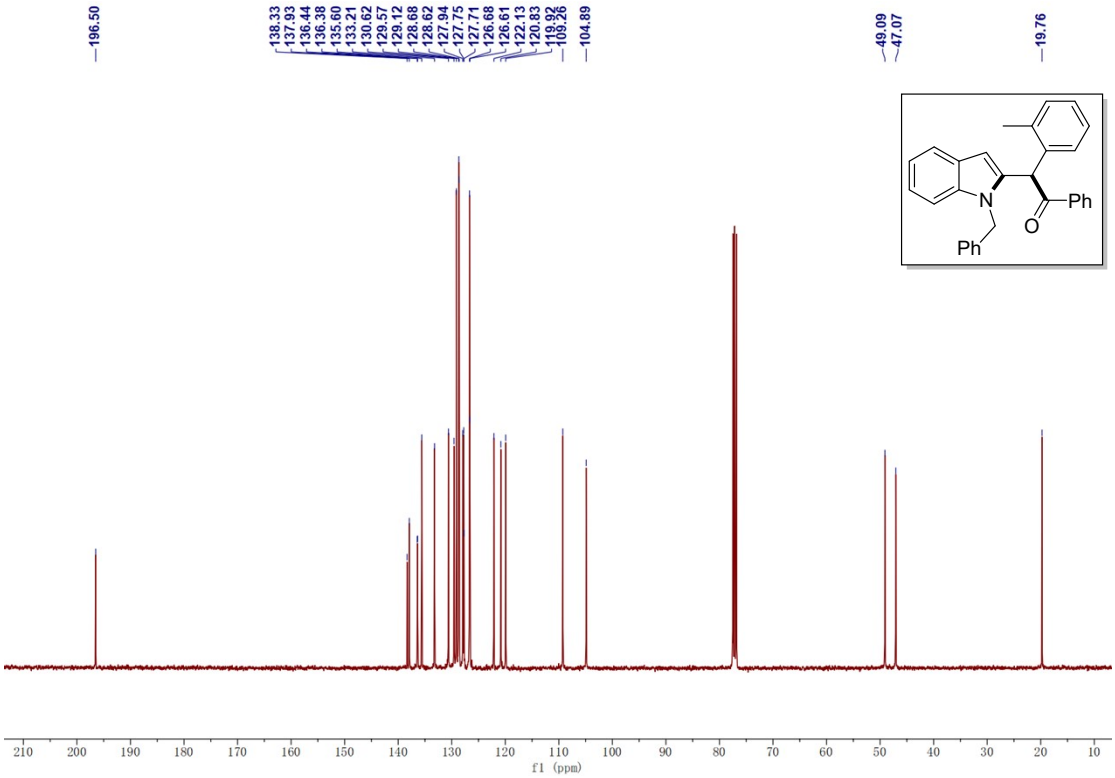
Supplementary Figure 132. ^{13}C NMR Spectrum of 3qa (100 MHz, $CDCl_3$)



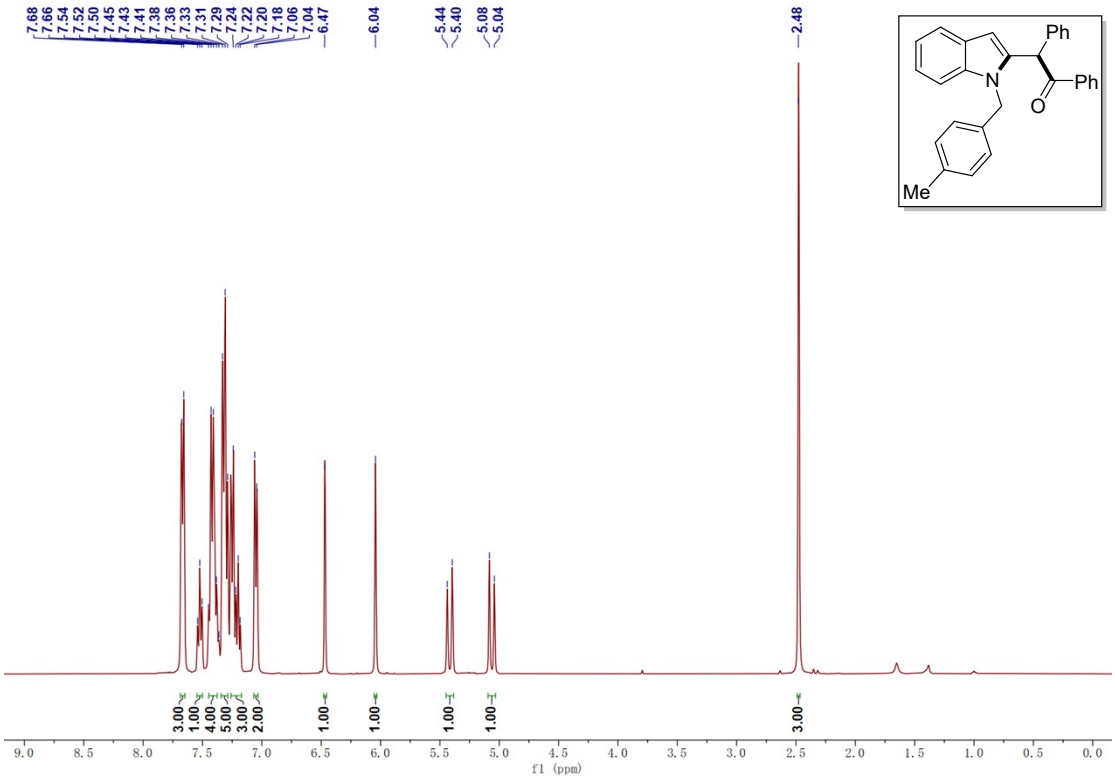
Supplementary Figure 133. ^{1}H NMR Spectrum of 3ra (400 MHz, $CDCl_3$)



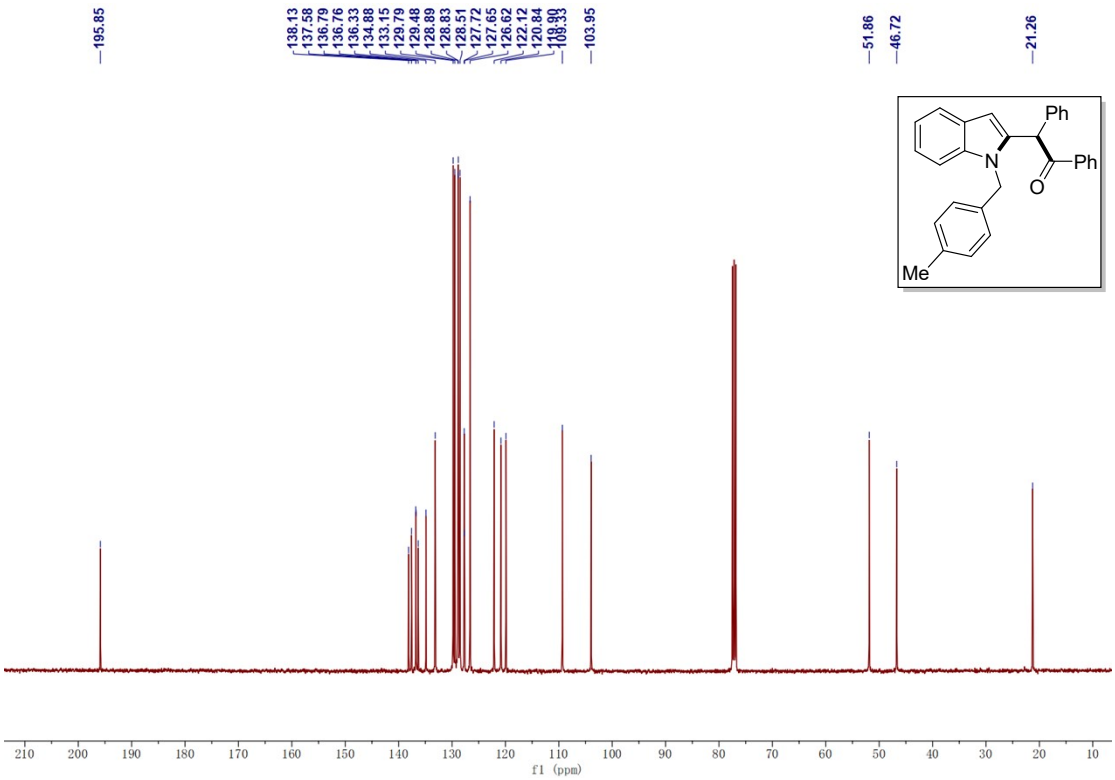
Supplementary Figure 134. ^{13}C NMR Spectrum of 3ra (100 MHz, $CDCl_3$)



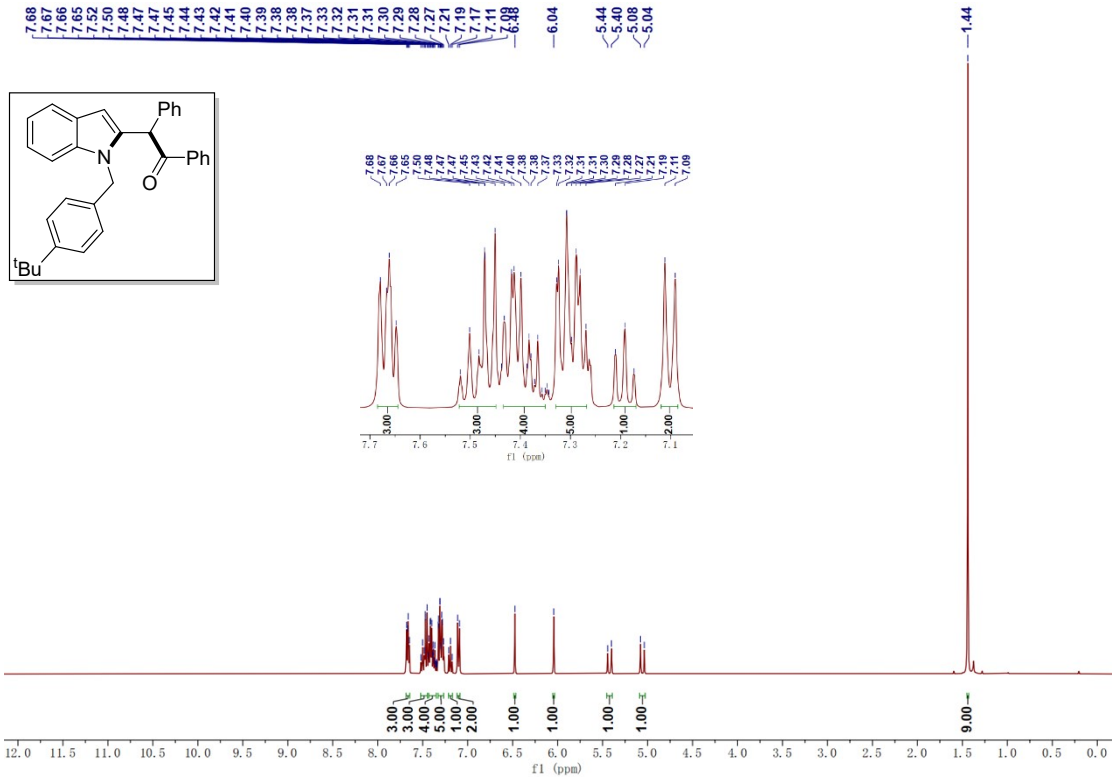
Supplementary Figure 135. ^{1}H NMR Spectrum of 3sa (400 MHz, $CDCl_3$)



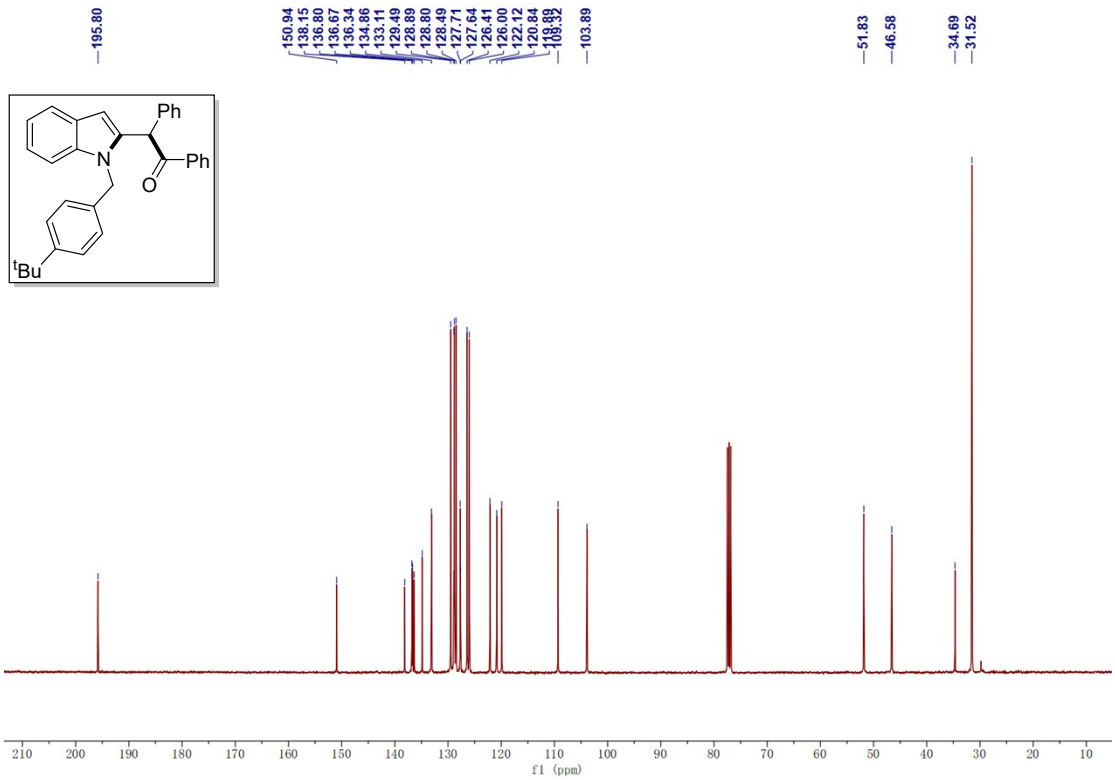
Supplementary Figure136. ^{13}C NMR Spectrum of 3sa (100 MHz, $CDCl_3$)



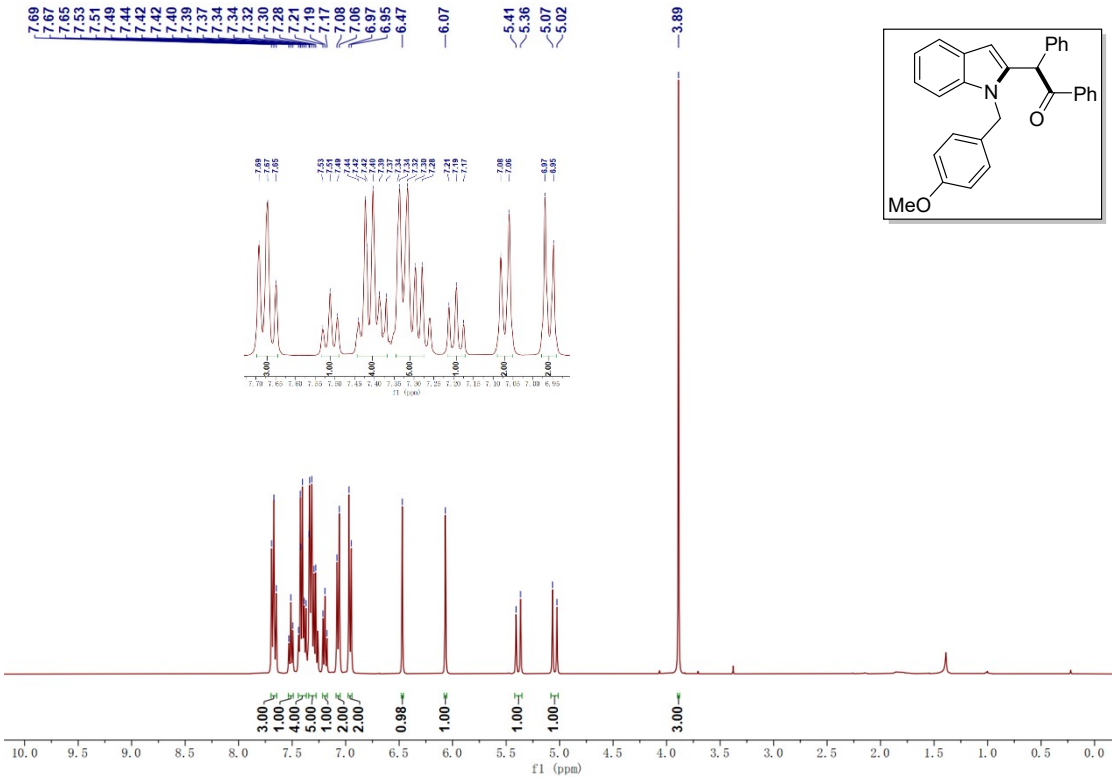
Supplementary Figure 137. ^{1}H NMR Spectrum of 3ta (400 MHz, $CDCl_3$)



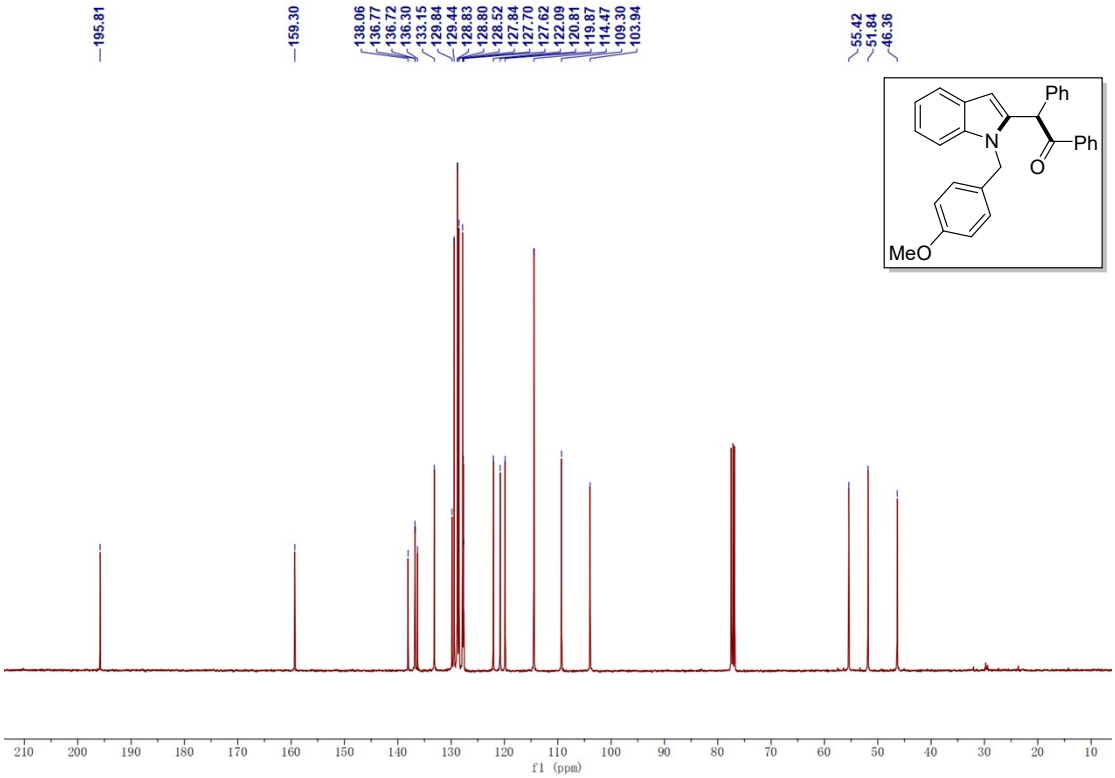
Supplementary Figure 138. ^{13}C NMR Spectrum of 3ta (100 MHz, $CDCl_3$)



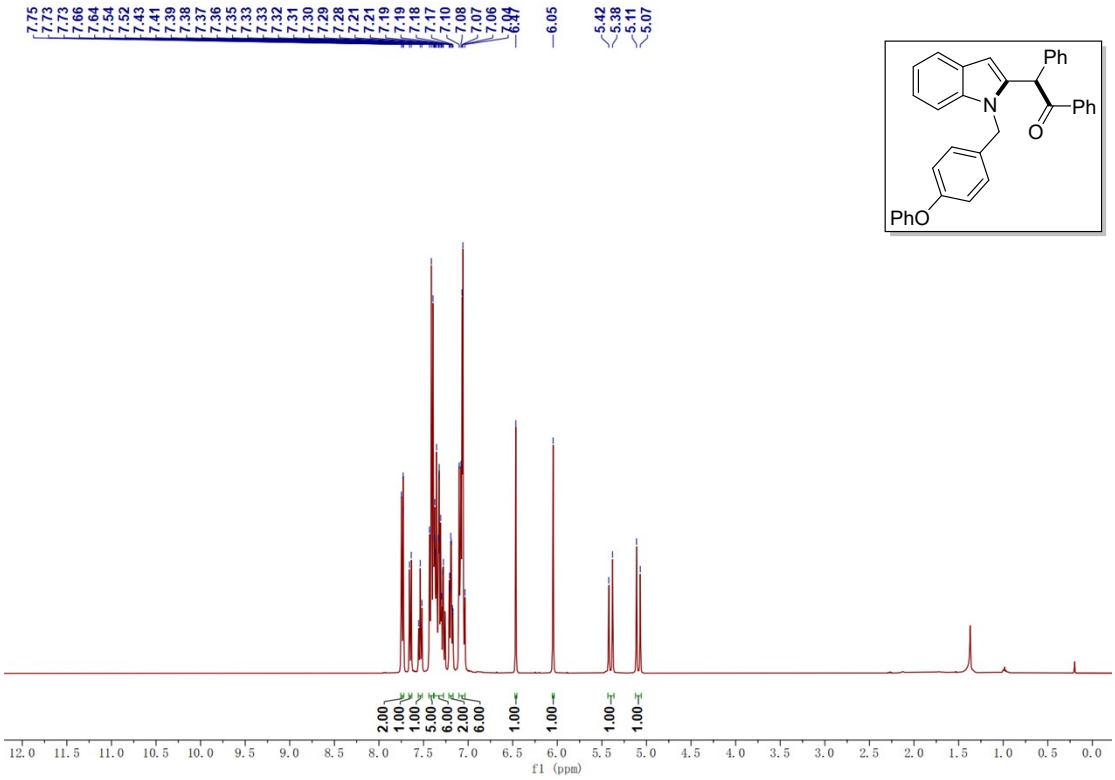
Supplementary Figure 139. ^{1}H NMR Spectrum of 3ua (400 MHz, $CDCl_3$)



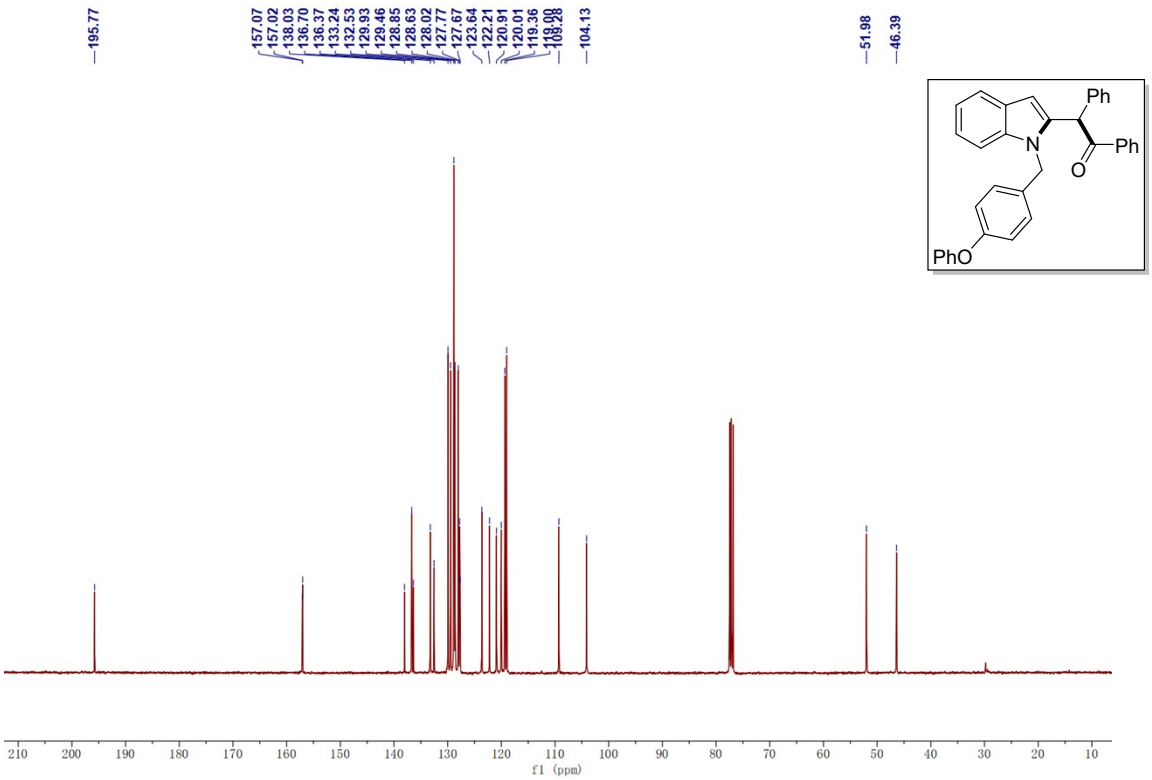
Supplementary Figure 140. ^{13}C NMR Spectrum of 3ua (100 MHz, $CDCl_3$)



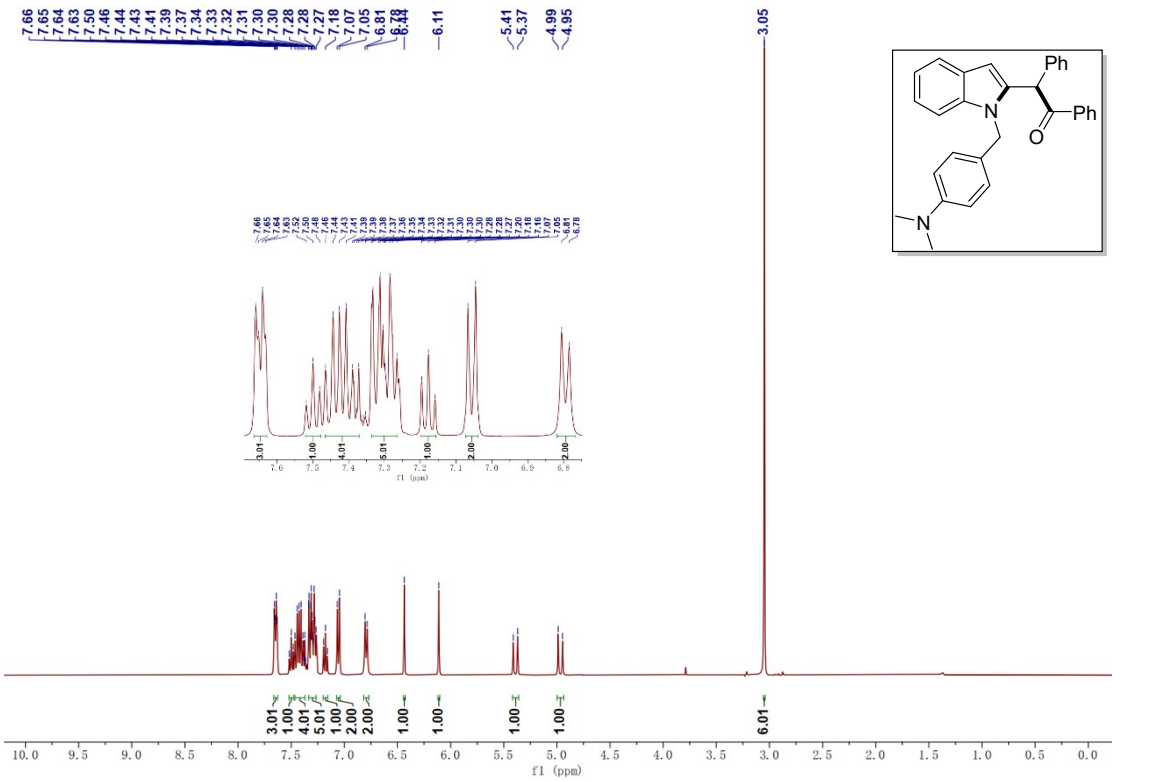
Supplementary Figure 141. ^{1}H NMR Spectrum of 3va (400 MHz, $CDCl_3$)



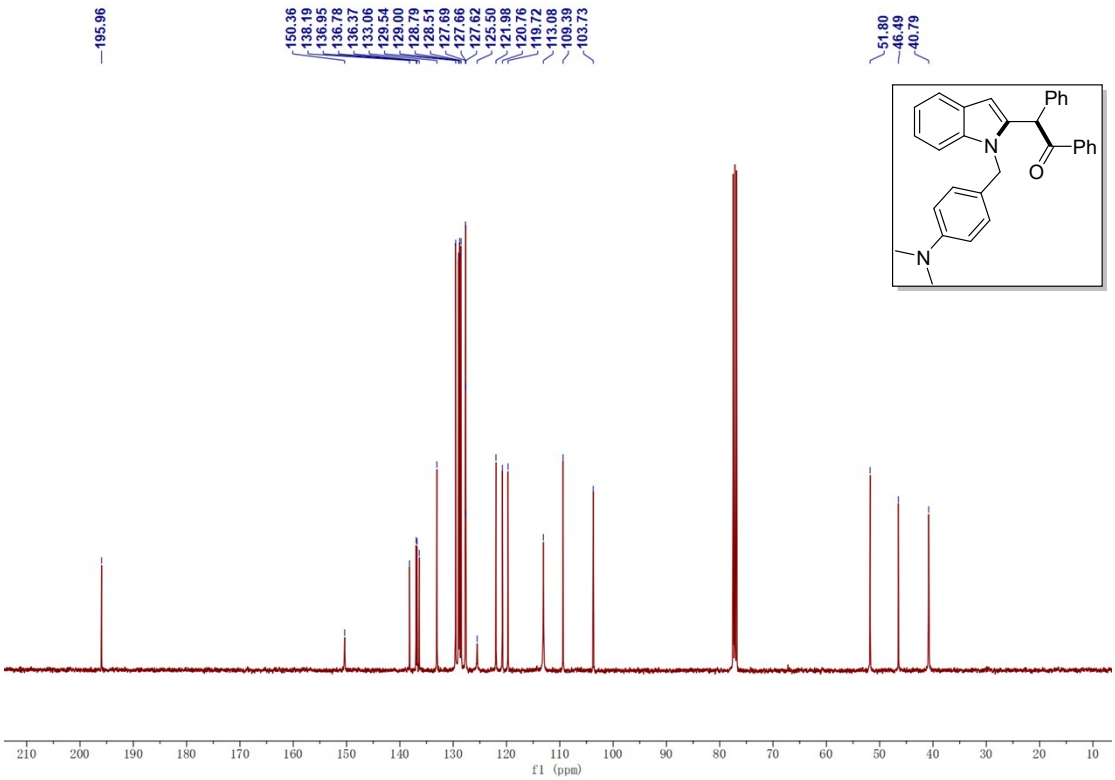
Supplementary Figure 142. ^{13}C NMR Spectrum of 3va (100 MHz, $CDCl_3$)



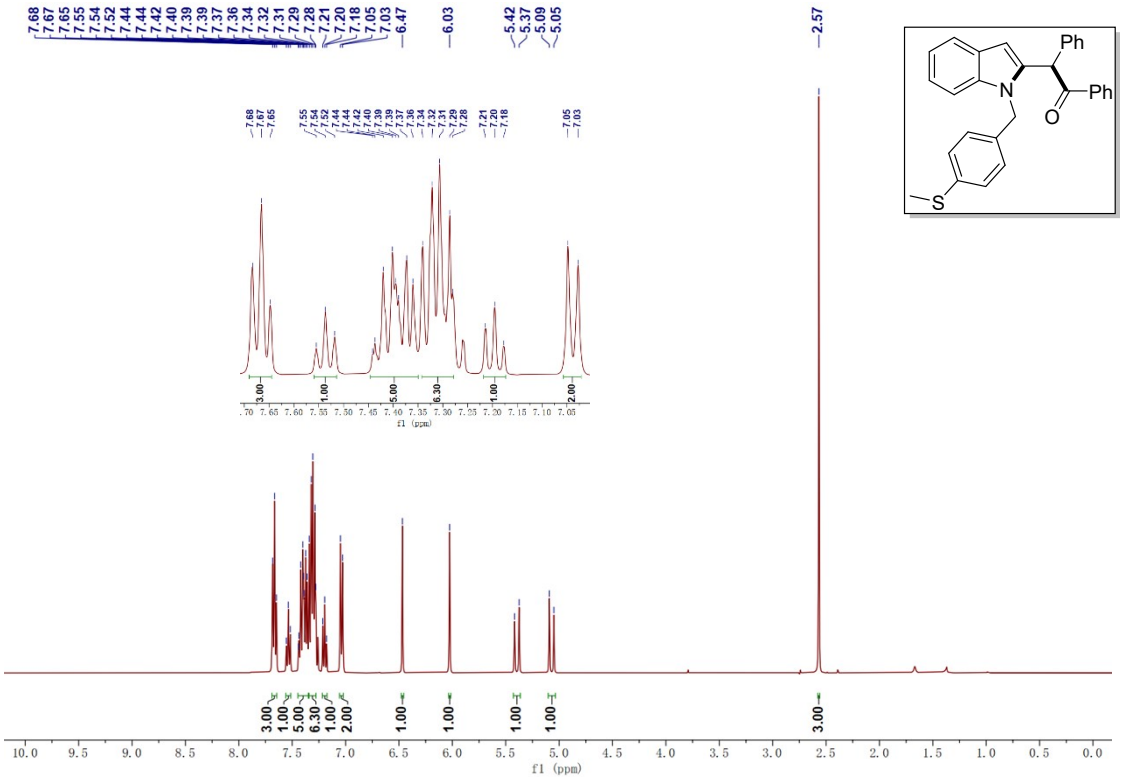
Supplementary Figure 143. ^{1}H NMR Spectrum of 3wa (400 MHz, $CDCl_3$)



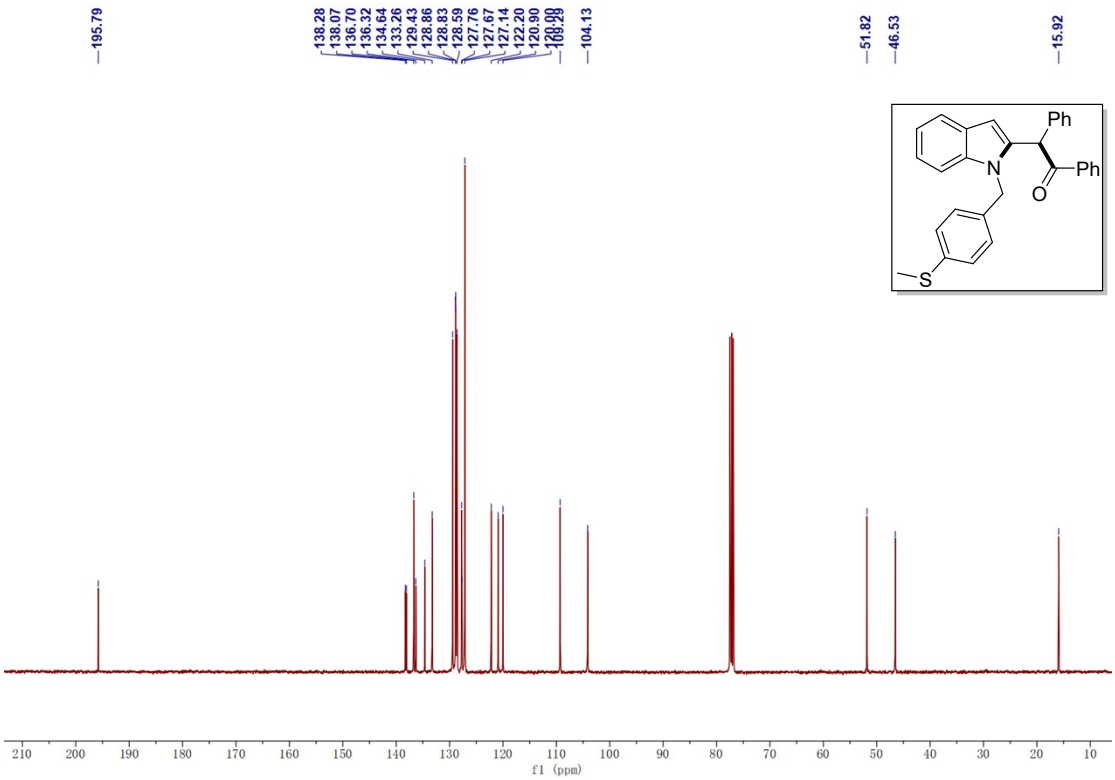
Supplementary Figure 144. ^{13}C NMR Spectrum of 3wa (100 MHz, $CDCl_3$)



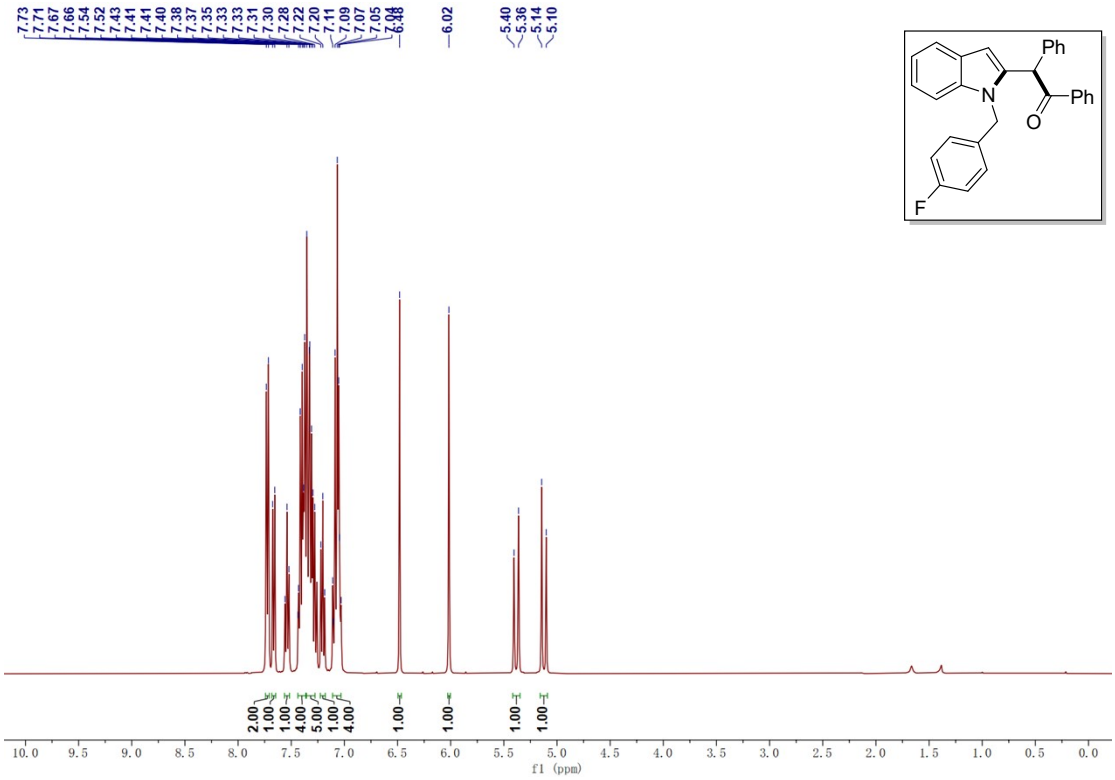
Supplementary Figure 145. ^{1}H NMR Spectrum of 3xa (400 MHz, $CDCl_3$)



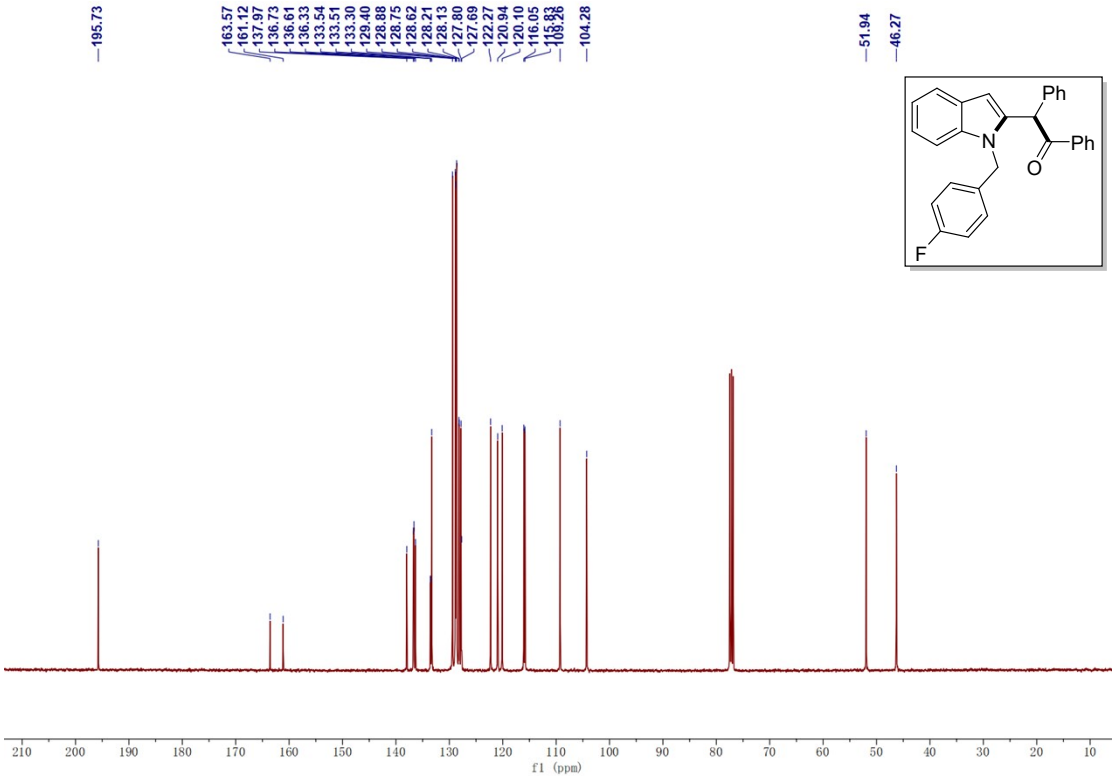
Supplementary Figure 146. ^{13}C NMR Spectrum of 3xa (100 MHz, $CDCl_3$)



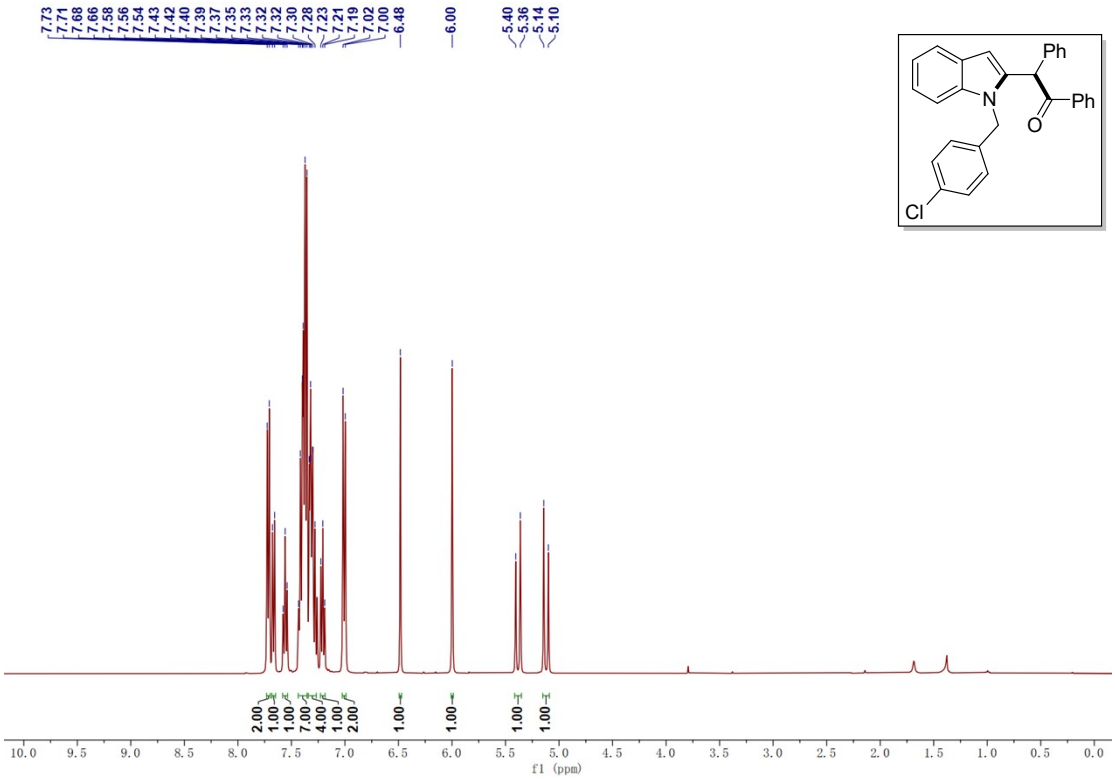
Supplementary Figure 147. ^{1}H NMR Spectrum of 3ya (400 MHz, $CDCl_3$)



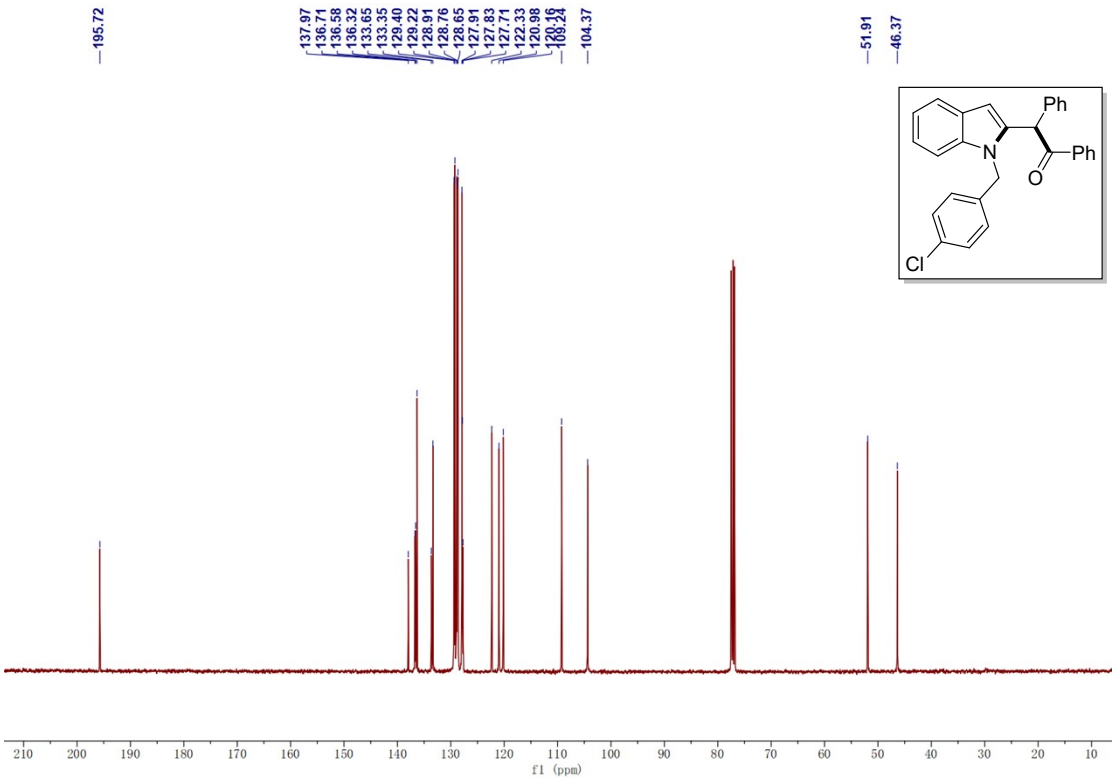
Supplementary Figure 148. ^{13}C NMR Spectrum of 3ya (100 MHz, $CDCl_3$)



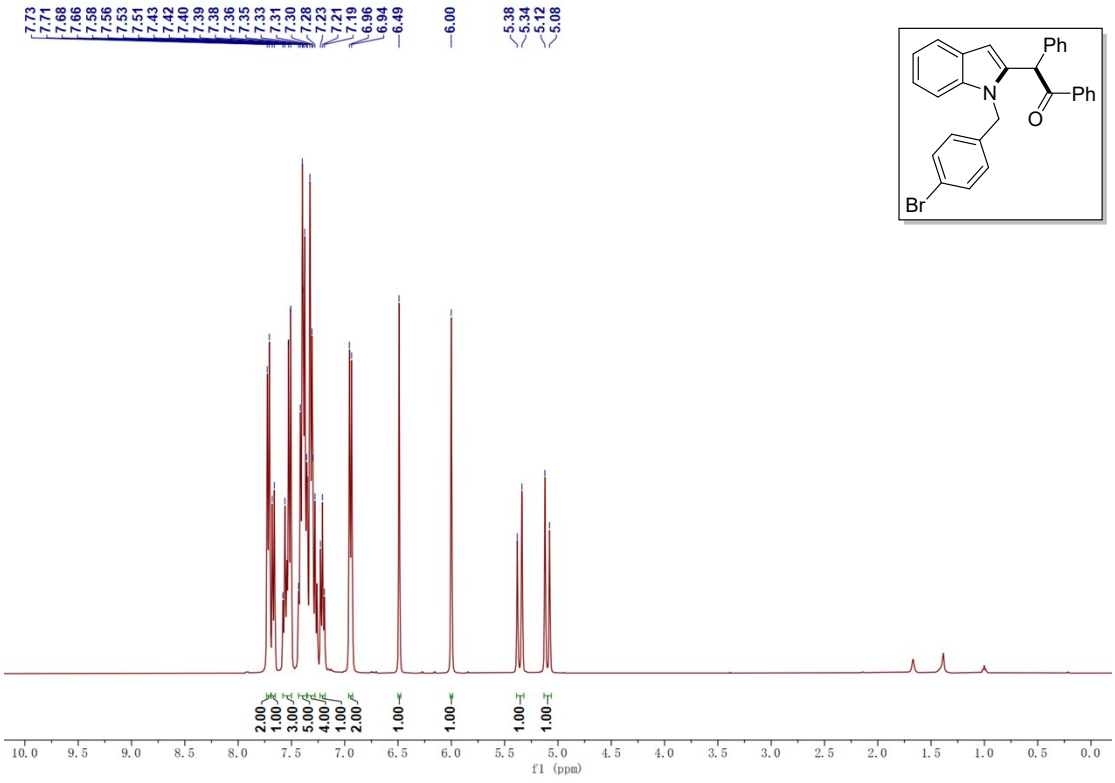
Supplementary Figure 149. ^{1}H NMR Spectrum of 3za (400 MHz, $CDCl_3$)



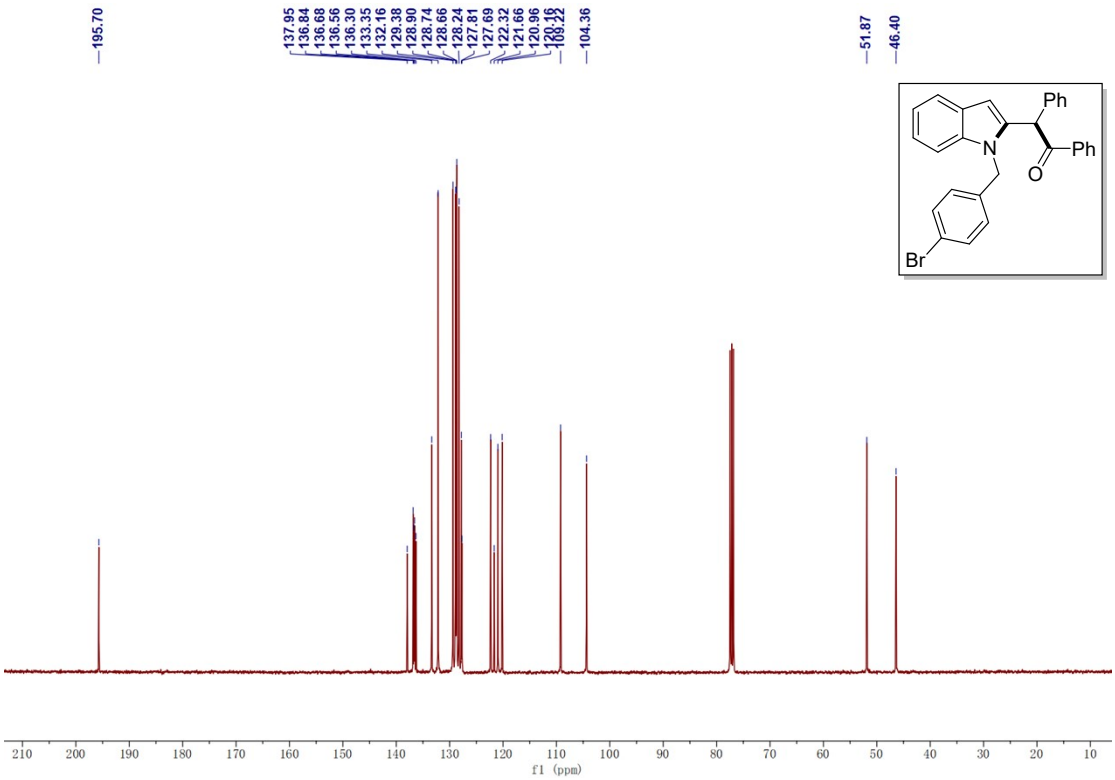
Supplementary Figure 150. ^{13}C NMR Spectrum of 3za (100 MHz, $CDCl_3$)



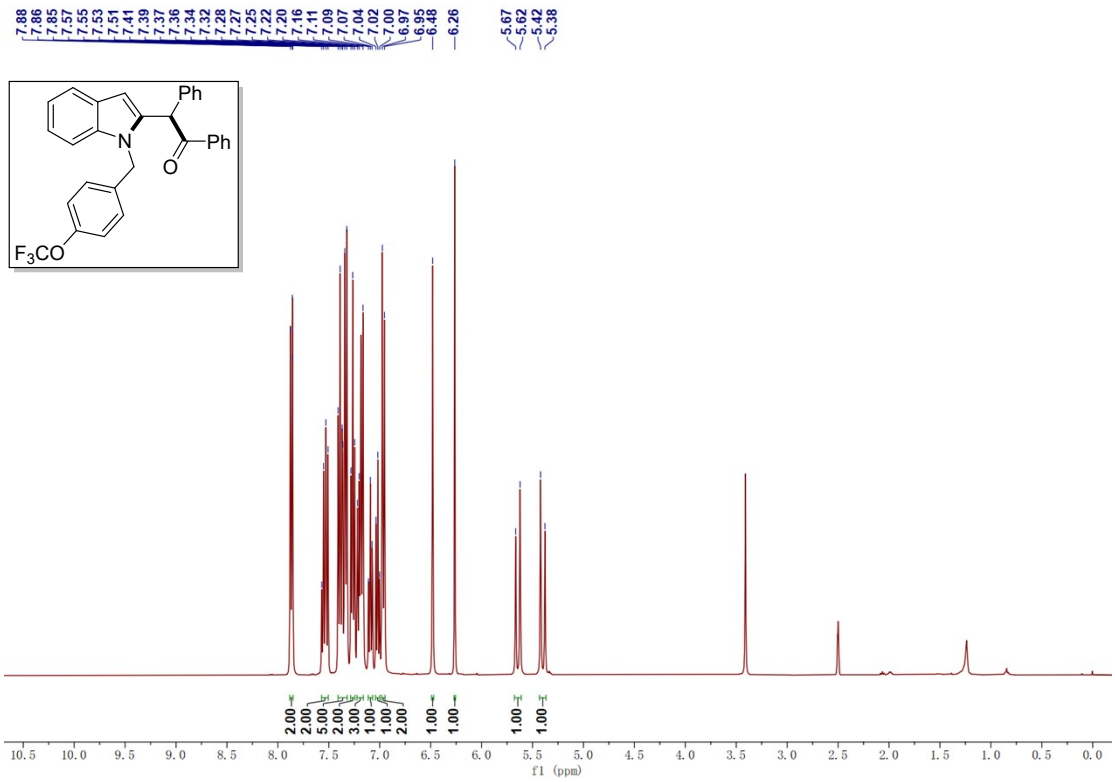
Supplementary Figure 151. ^{1}H NMR Spectrum of 3Aa (400 MHz, $CDCl_3$)



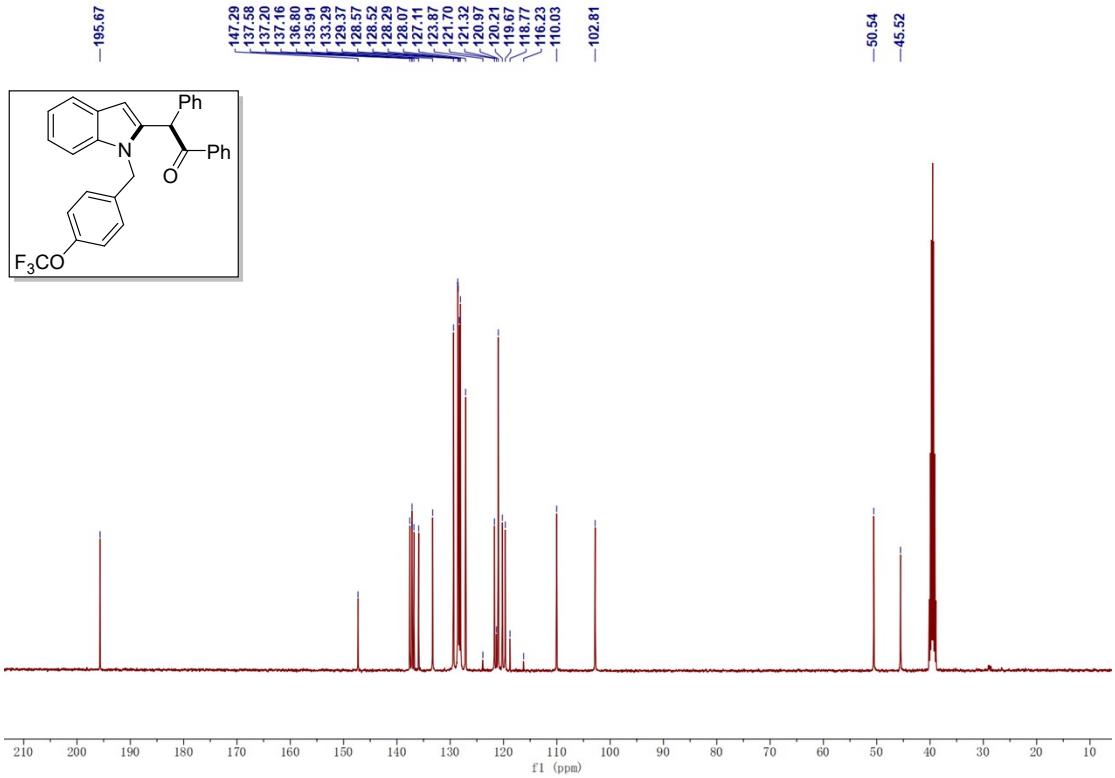
Supplementary Figure 152. ^{13}C NMR Spectrum of 3Aa (100 MHz, $CDCl_3$)



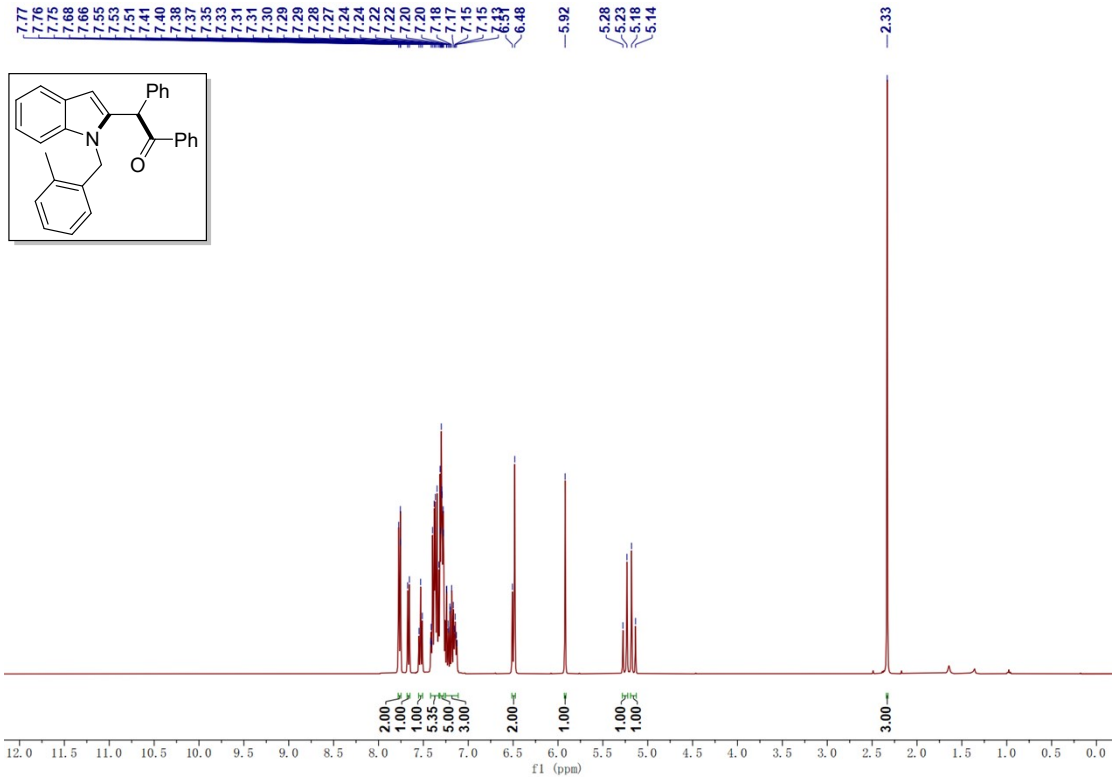
Supplementary Figure 153. ^{1}H NMR Spectrum of 3Ba (400 MHz, DMSO-d_6)



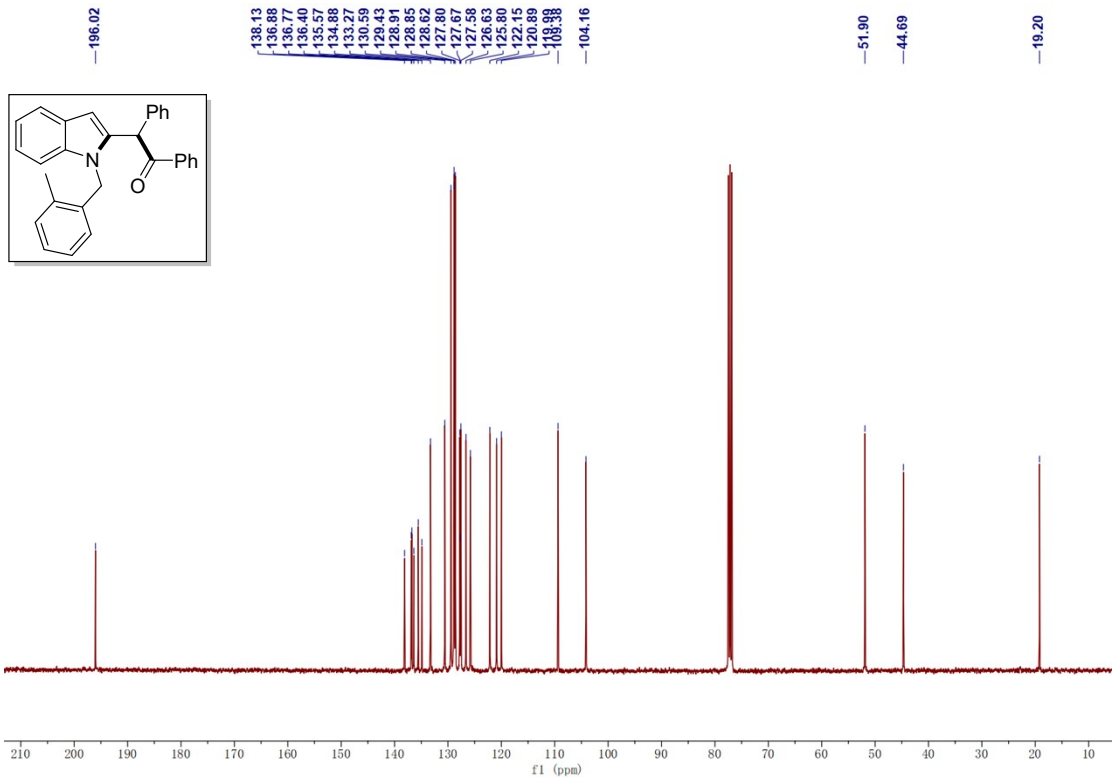
Supplementary Figure 154. ^{13}C NMR Spectrum of 3Ba (100 MHz, DMSO-d_6)



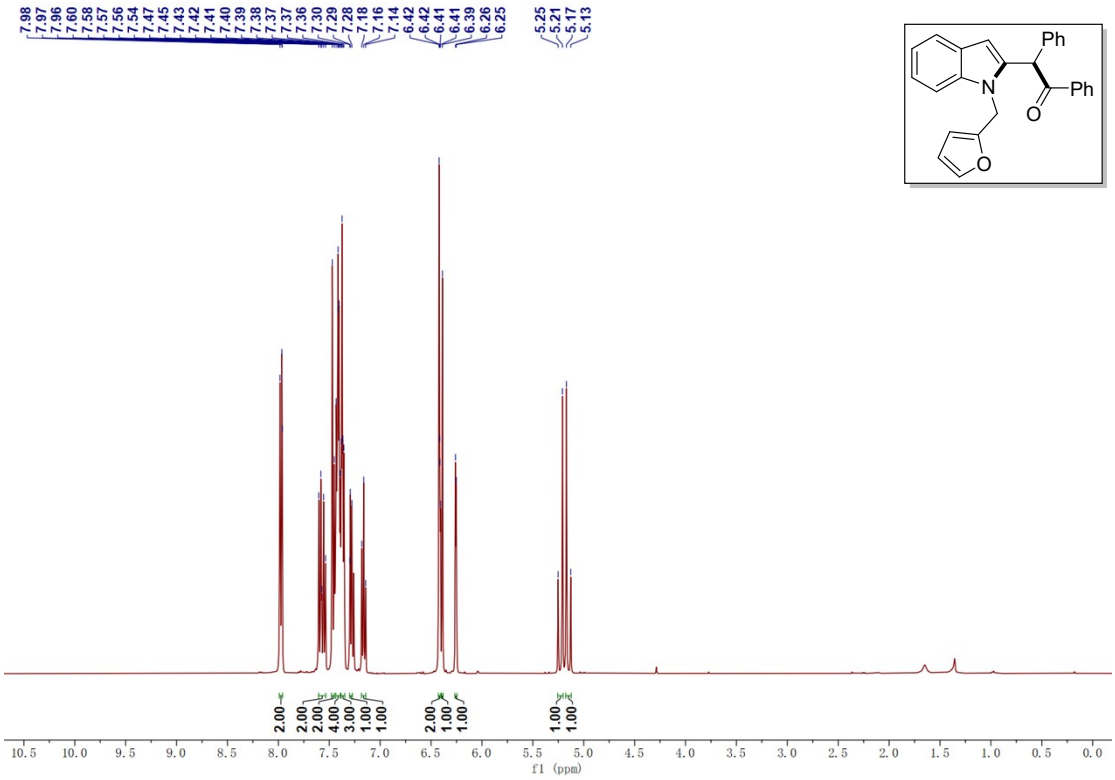
Supplementary Figure 155. ^{1}H NMR Spectrum of 3Ca (400 MHz, $CDCl_3$)



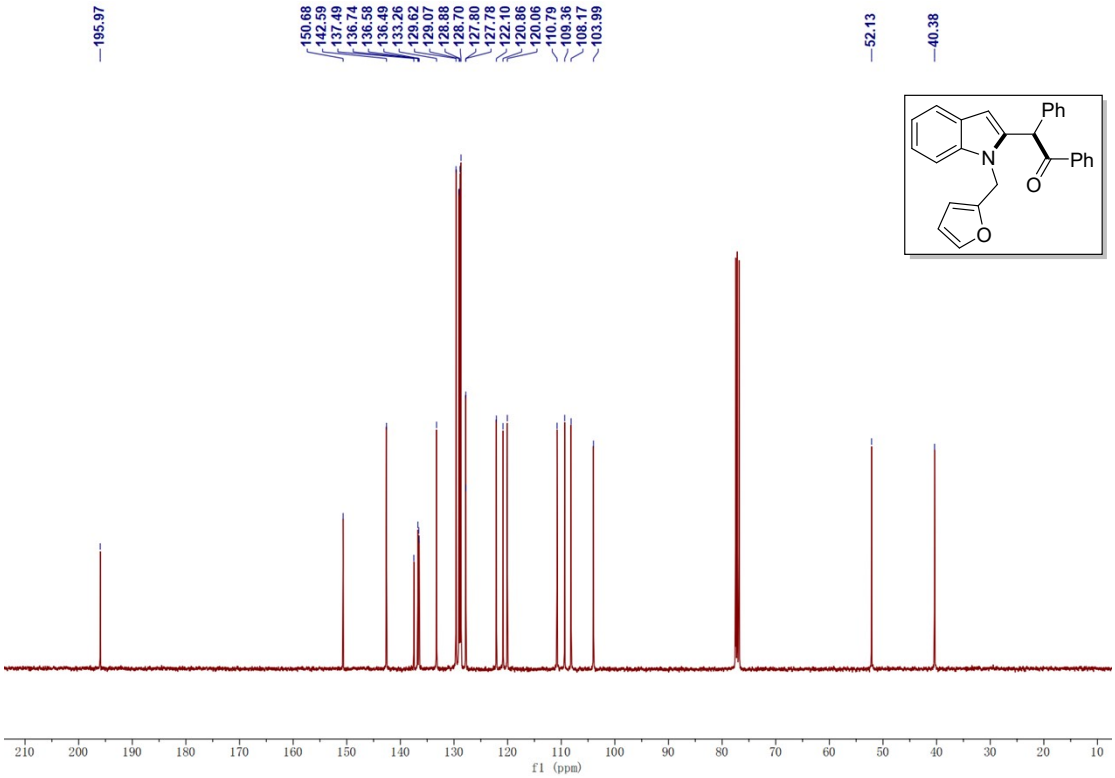
Supplementary Figure 156. ^{13}C NMR Spectrum of 3Ca (100 MHz, $CDCl_3$)



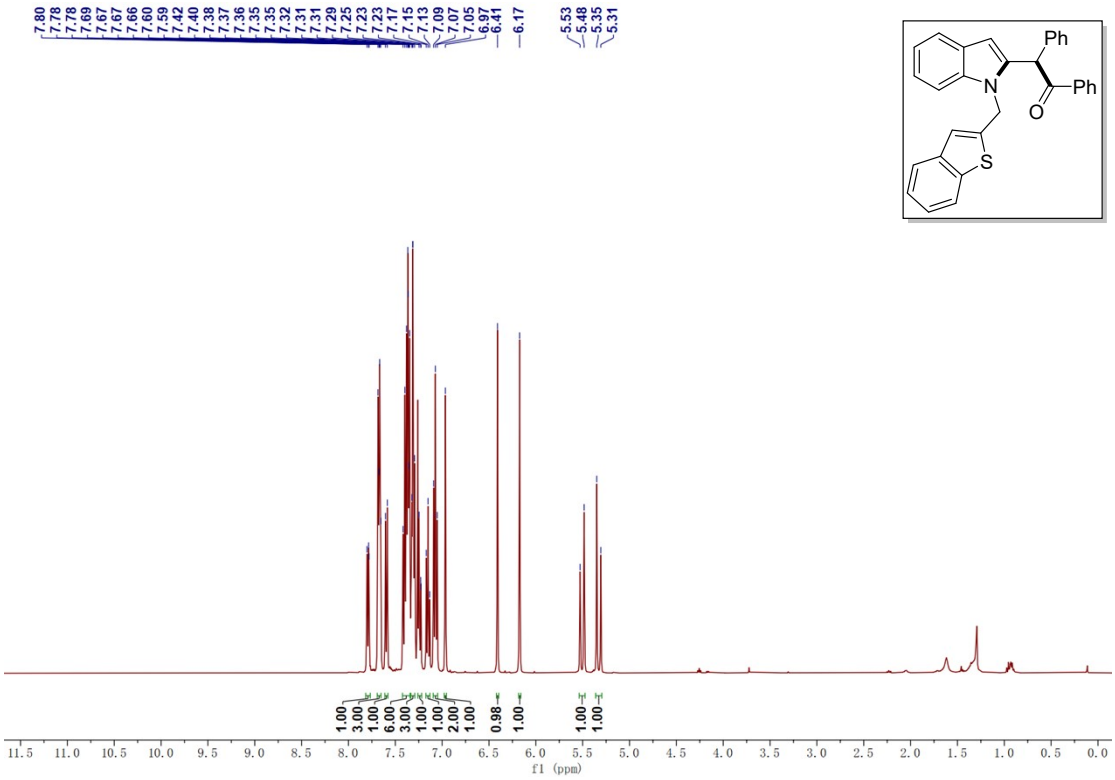
Supplementary Figure 157. ^{1}H NMR Spectrum of 3Da (400 MHz, $CDCl_3$)



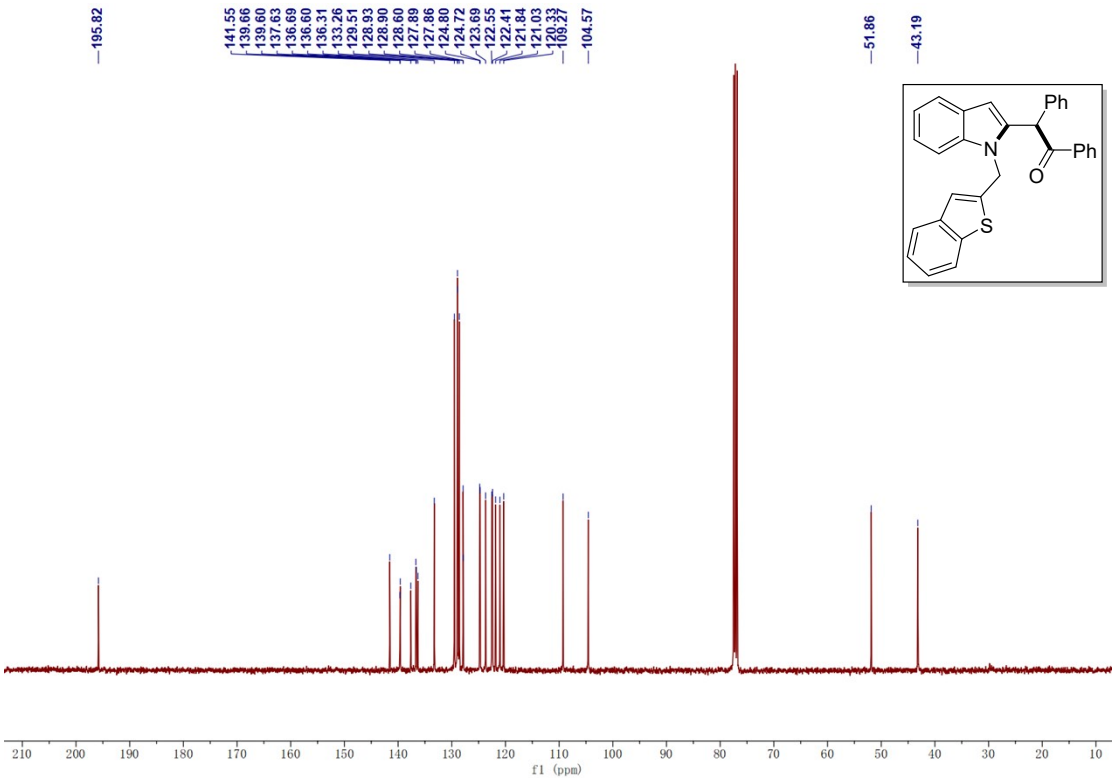
Supplementary Figure 158. ^{13}C NMR Spectrum of 3Da (100 MHz, $CDCl_3$)



Supplementary Figure 159. ^{1}H NMR Spectrum of 3Ea (400 MHz, $CDCl_3$)



Supplementary Figure 160. ^{13}C NMR Spectrum of 3Ea (100 MHz, $CDCl_3$)


195.82
141.55
139.66
139.60
137.63
136.69
136.60
136.31
133.26
129.51
128.93
128.90
128.60
127.89
127.86
124.80
124.72
123.69
122.55
122.41
121.84
121.03
120.33
109.27
104.57
51.86
43.19
Ph
Ph
O
N
S
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)