Supplementary Information

Regioselective construction of 2-substituted indolines enabled by a rhodium-catalyzed decarboxylation−hydroacylation sequence

Jun Zhao,‡[a] Wen-Jing Yang,‡[a] Yuan-Yi Lu,[a] Yu Teng,[a] Shi-Chao Lu*[b] and Hong-Shuang Li*[a]

[a] *State Key Laboratory of Advanced Drug Delivery and Release Systems, School of Pharmaceutical Sciences & Institute of Materia Medica, Shandong First Medical University & Shandong Academy of Medical Sciences, Jinan 250117, P. R. China*
Email: hsli@sdfmu.edu.cn

[b] *State Key Laboratory of Bioactive Substance and Function of Natural Medicines and Beijing Key Laboratory of Active Substance Discovery and Druggability Evaluation, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, P. R. China*
Email: lushichao@imm.ac.cn

‡These authors contributed equally to this work.

Table of contents: **Page**

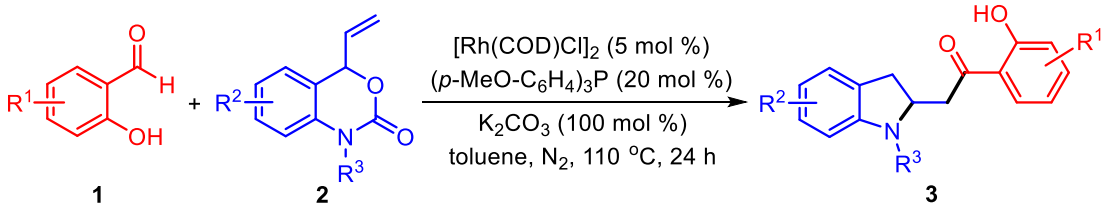
1. General Experiment Information

Unless otherwise noted, all chemicals of commercial grade were used without further purification. All of the chelating aldehydes (**1a**−**1v**) and benzaldehydes (**4** and **6**) were commercially available. Toluene as the solvent was purified and dried according to the standard method prior to use. Anhydrous *p*-xylene and 1,4-dioxane were purchased from Innochem Reagents (Beijing) and used without further purification.

$CDCl_3$ was purchased from Innochem Reagents (Beijing). ^1H NMR spectra were recorded on the Bruker Ascend^{TM} 400 with 400 MHz frequencies, and ^{13}C NMR spectra were recorded on the Bruker Ascend^{TM} 400 with 100 MHz frequencies. Chemical shifts are given in ppm and coupling constants in Hertz (Hz). ^1H spectra were calibrated in relation to the reference measurement of TMS (0.000 ppm) or the residual solvent signal of $CDCl_3$ (7.260 ppm). ^{13}C spectra were calibrated in relation to $CDCl_3$ (77.10 ppm). The following abbreviations were used for ^1H NMR spectra to indicate the signal multiplicities: br (broad), s (singlet), d (doublet), t (triplet), q (quartet), and m (multiplets) as well as combinations of them. Organic solvent was concentrated under reduced pressure on a EYELA rotary evaporator (Japan). Analytical thin layer chromatography (TLC) was performed on 0.25 mm silica gel plates (purchased from Qingdao Haiyang Chemical, China), and the products were visualized with the UV light at 254 nm and 365 nm. Column chromatography was performed on silica gel 200−300 mesh (purchased from Qingdao Haiyang Chemical, China). High-resolution mass spectra (HRMS) using electrospray ionization (ESI) as the ion source was carried out by LC−MSD TOF using a column of C18 (rapid resolution, 3.5 μm, 2.1 mm × 30 mm) at a flow of 0.40 mL/min.

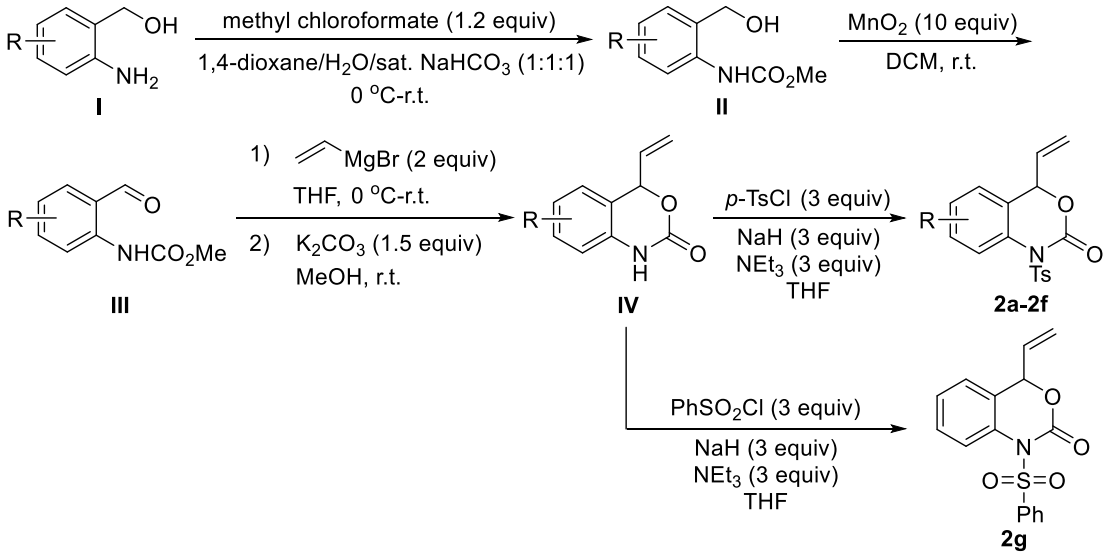
2. General Procedures

(1) General Procedure for the Synthesis of Indoline Products 3



To an oven-dried sealed tube (10 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added $[Rh(COD)Cl]_2$ (2.5 mg, 0.005 mmol, 5 mol %), (p-MeO-C_6H_4)$_3$P (7.0 mg, 0.02 mmol, 20 mol %), K_2CO_3 (13.8 mg, 0.1 mmol, 100 mol %), salicylaldehyde **1** (0.1 mmol, 1.0 equiv), and vinyl benzoxazinanone **2** (0.15 mmol, 1.5 equiv). Then anhydrous toluene (1.0 mL, 0.1 M) was added. The tube was sealed and removed from the glove box. The mixture was stirred at room temperature for 15 min, and then heated at 110 ℃ for 24 h using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). Upon completion, the reaction mixture was cooled to room temperature and concentrated. The residue was purified by silica gel chromatography (*n*-hexane/EtOAc) to afford the desired product **3**.

(2) Preparation of Vinyl Benzoxazinanones (2a−2g)



Vinyl benzoxazinanones (**2a**−**2g**) were prepared according to the literature procedure.[1]

To a stirred solution of substituted *o*-aminobenzyl alcohol **I** (10 mmol, 1 equiv) in 1,4-dioxane/water/sat. $NaHCO_3$ (20 mL, 1:1:1) at 0 °C, methyl chloroformate (12 mmol, 1.2 equiv) was added dropwise. The reaction mixture was then slowly warmed to room temperature and allowed to stir overnight. Upon full conversion, the reaction was diluted with brine, extracted with ethyl acetate, dried over Na_2SO_4 and concentrated under reduced pressure. The crude product **II** was employed in the next step without further purification.

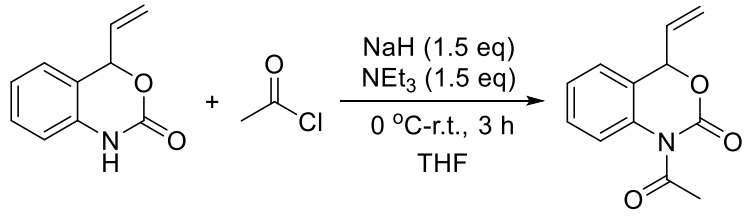
A suspension of **II** (10 mmol, 1 equiv) and MnO_2 (100 mmol, 10 equiv) in dichloromethane (40 mL) was stirred overnight at room temperature. Upon full conversion, the reaction mixture was filtered through Celite and concentrated under reduced pressure to give the crude aldehyde **III**, which was used in the next step without further purification.

Under nitrogen atmosphere, a stirred solution of **III** (10 mmol, 1 equiv) in dry THF (50 mL) was cooled to 0 °C. Vinylmagnesium bromide (20 mmol, 2 equiv, 1.0 M in THF) was added dropwise at the same temperature. The reaction mixture was slowly warmed to room temperature and stirred for 2 h. The reaction was then quenched with sat. NH_4Cl and extracted with ethyl acetate. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. To a stirred solution of this crude mixture in MeOH (40 mL), K_2CO_3 (15 mmol, 1.5 equiv) was added and stirred overnight at room temperature. Upon full conversion, the reaction was quenched with sat. NH_4Cl and extracted with ethyl acetate. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by flash column chromatography (*n*-hexane/ethyl acetate) to give **IV**.

A stirred solution of **IV** (8 mmol, 1 equiv) in dry THF (30 mL) and NEt_3 (24 mmol, 3 equiv) was cooled to °C. NaH (24 mmol, 3 equiv, 60% dispersion in mineral oil) was added slowly while maintaining the same temperature and the mixture was stirred for 1 h. 4-Toluenesulfonyl chloride or benzenesulfonyl chloride (24 mmol, 3 equiv) was then added. The reaction mixture was warmed slowly to room temperature and

stirred overnight. Upon full conversion, the reaction mixture was cooled to 0 ℃, and water was added dropwise until effervescence was no longer observed. The mixture was then extracted with ethyl acetate. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by flash column chromatography (*n*-hexane/ethyl acetate) to give the desired product (**2a**−**2g**).

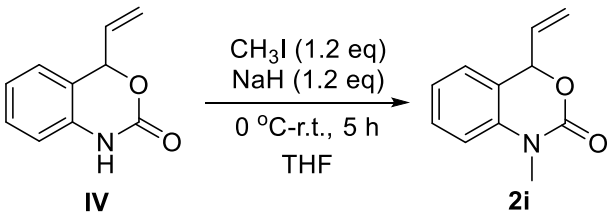
(3) Preparation of 2h



IV **2h**

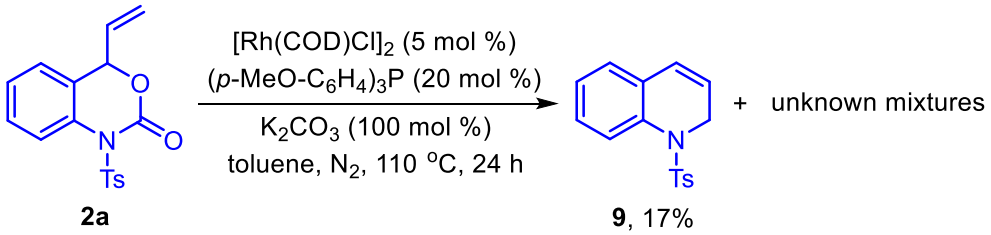
2h was prepared according to the literature procedure.[2] **IV** (1401.5 mg, 8 mmol, equiv) was dissolved in 70 mL THF at 0 ℃. Then NaH (480 mg, 12.0 mmol, 1.5 equiv, 60% dispersion in mineral oil) was added in small portion, and then NEt_3 (1214.3 mg, 12.0 mmol, 1.5 equiv) was added. After the addition was completed, the solution was stirred for 30 min at room temperature. After that the resulting solution was cooled to 0 ℃ and commercially available acetyl chloride (942 mg, 12.0 mmol, 1.5 equiv) was added dropwise. After the addition was completed, the solution was stirred for 3 h at room temperature. After 3 h, the reaction was quenched by adding saturated NH_4Cl solution (30 mL) and the organic phase was extracted with EtOAc (3 × 20 mL). The organic phase was washed with brine and dried over Na_2SO_4. Then the organic was concentrated under reduced pressure, and the residue was purified by silica gel column chromatography (*n*-hexane/EtOAc = 40:1-20:1) to give the pure product **2h** (903.6 mg, 52%).

(4) Preparation of 2i



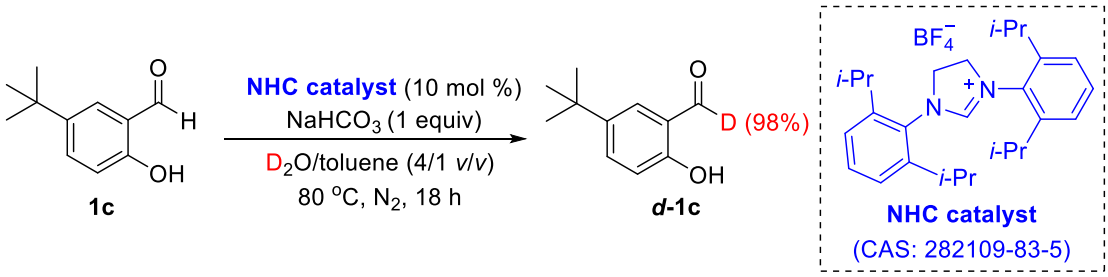
2i was prepared according to the literature procedure.[3] **IV** (875 mg, 5 mmol, 1 equiv) was stirred in anhydrous THF (20 mL) at 0 ℃. NaH (240 mg, 6 mmol, 1.2 equiv, 60% dispersion in mineral oil) was added slowly to the mixture and stirred at 0 ℃ for 1 h. Then CH_3I (851.6, 6.00 mmol, 1.2 equiv) was added to the mixture and the mixture was stirred at room temperature for 4 h. The mixture was extracted with ethyl acetate and the combined extracts were washed with brine, dried over Na_2SO_4, and concentrated. The crude product was purified by flash column chromatography (*n*-hexane/EtOAc = 40:1) to obtain **2i** (378.4 mg, 40%).

(5) Preparation of 9

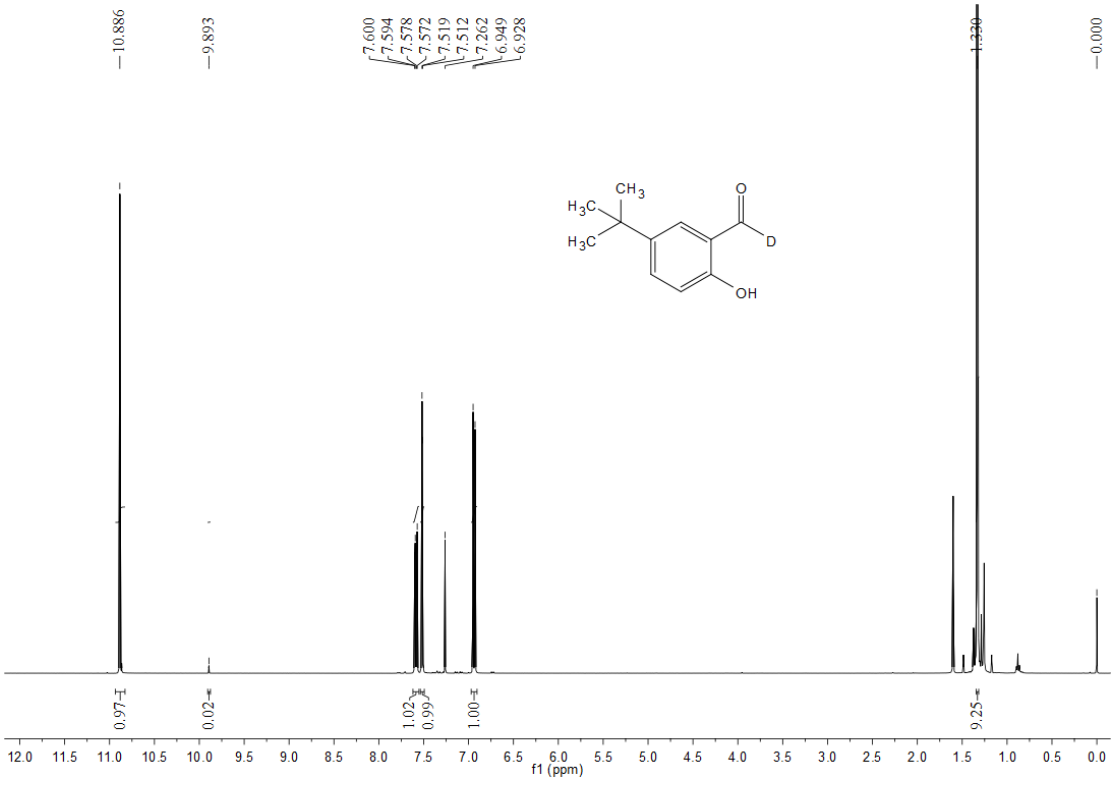


To an oven-dried sealed tube (10 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added $[Rh(COD)Cl]_2$ (4.9 mg, 0.01 mmol, 5 mol %), (*p*-MeO-C_6H_4)$_3$P (14.1 mg, 0.04 mmol, 20 mol %), K_2CO_3 (27.6 mg, 0.2 mmol, 1 equiv), and vinyl benzoxazinanone **2a** (65.9 mg, 0.2 mmol, 1 equiv). Then anhydrous toluene (2.0 mL, 0.1 M) was added. The tube was sealed and removed from the glove box. The mixture was stirred at room temperature for 15 min, and then heated at 110 ℃ for 24 h using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). Upon completion, the reaction mixture was cooled to room temperature and concentrated. The residue was purified by silica gel chromatography (*n*-hexane/EtOAc = 50:1-30:1) to afford the desired product **9** as a yellow solid, 9.7 mg (17%).

(6) Preparation of 5-*tert*-Butylsalicylaldehyde-*α*-d_1 (*d*-1c)

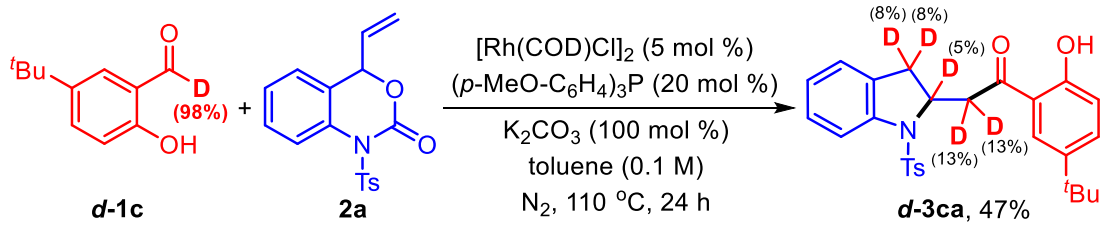


5-*tert*-Butylsalicylaldehyde-α-d_1 (***d*-1c**) was prepared according to the literature procedure with 98% D-incorporation.[4] To an oven-dried sealed tube (15 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added 5-*tert*-butylsalicylaldehyde **1c** (356.4 mg, 2 mmol), NHC catalyst (95.7 mg, 0.2 mmol), and dry $NaHCO_3$ (168.0 mg, 2 mmol). Then D_2O (4 mL) and anhydrous toluene (1.0 mL) were added. Then the reaction mixture was vigorously stirred at 80 ℃ for 18 h using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). After cooling to room temperature, the reaction mixture was extracted with DCM, dried over anhydrous Na_2SO_4, concentrated in vacuo, and purified by column chromatography using *n*-hexane/DCM (50:1) as the eluent to afford ***d*-1c** as a light-yellow oil (279.6 mg, 78%) with 98% D-incorporation.



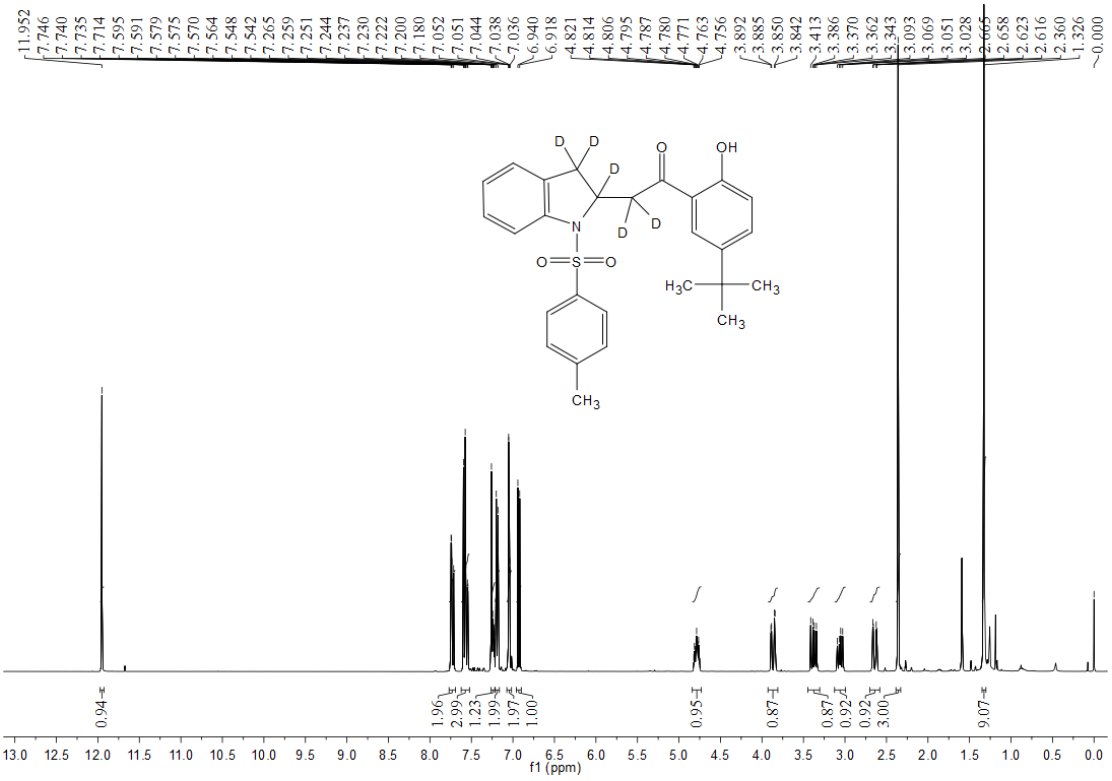
^{1}H NMR (400 MHz, $CDCl_3$) of **5-*tert*-Butylsalicylaldehyde-α-d_1 (*d*-1c)**

(7) Isotopic Labeling Experiment

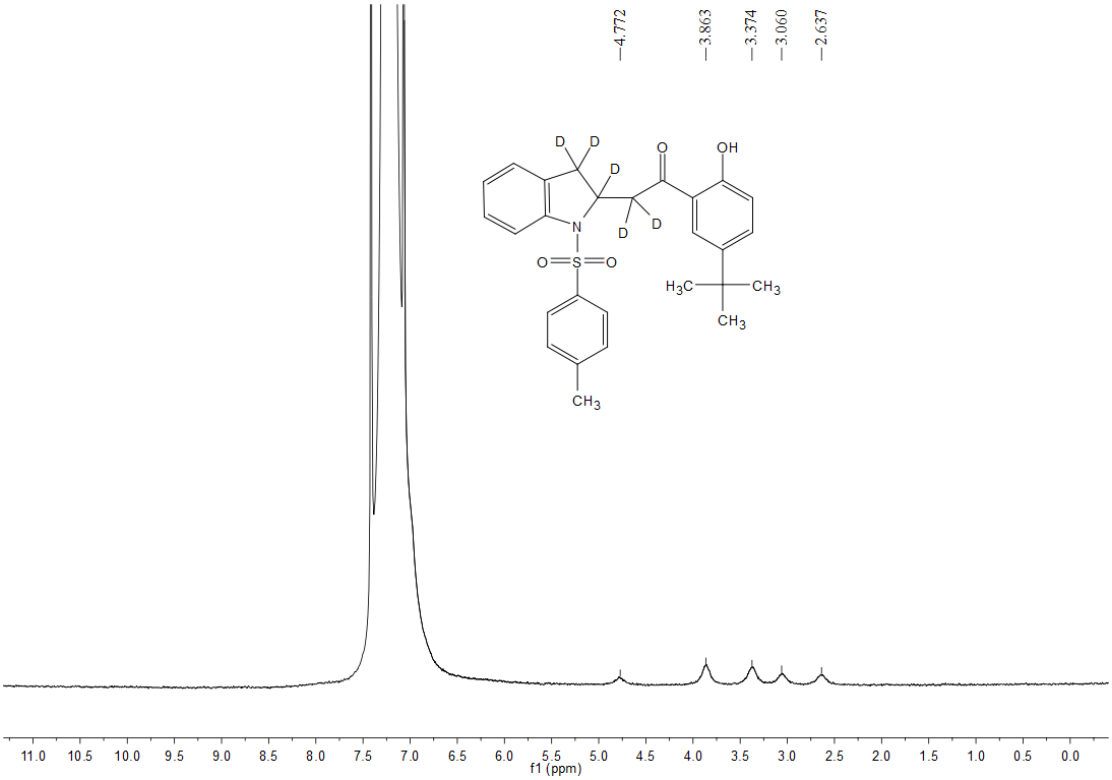


***d*-1c** **2a** ***d*-3ca**, 47%

To an oven-dried sealed tube (10 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added $[Rh(COD)Cl]_2$ (4.9 mg, 0.01 mmol, 5 mol %), (*p*-MeO-C_6H_4)$_3$P (14.1 mg, 0.04 mmol, 20 mol %), K_2CO_3 (27.6 mg, 0.2 mmol, 1.0 equiv), 5-*tert*-butylsalicylaldehyde-α-d_1 ***d*-1c** (0.2 mmol, 35.8 mg, 1.0 equiv), and vinyl benzoxazinanone **2a** (0.3 mmol, 98.8 mg, 1.5 equiv). Then anhydrous toluene (2.0 mL, 0.1 M) was added. The tube was sealed and removed from the glove box. The mixture was stirred at room temperature for 15 min, and heated at 110 ℃ for 24 h using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). Upon completion, the reaction mixture was cooled to room temperature and concentrated. The residue was purified by silica gel chromatography (*n*-hexane/EtOAc = 80:1) to afford the desired product ***d*-3ca** as a white solid, 43.7 mg (47%).



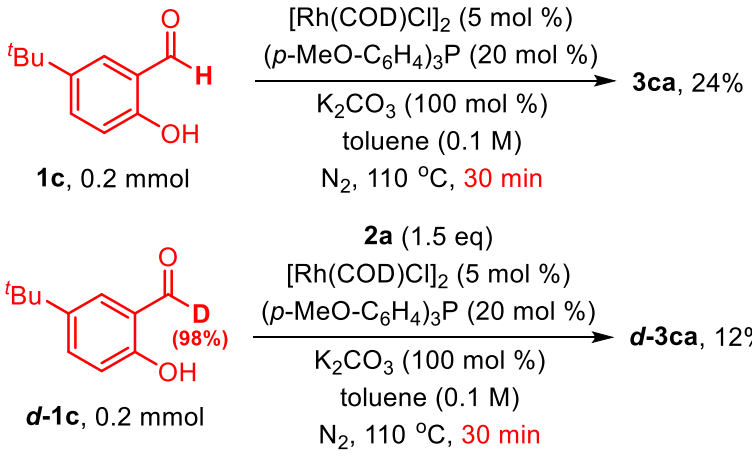
^{1}H NMR (400 MHz, $CDCl_3$) of ***d*-3ca**



^{2}H NMR of ***d*-3ca**

(8) KIE Experiment

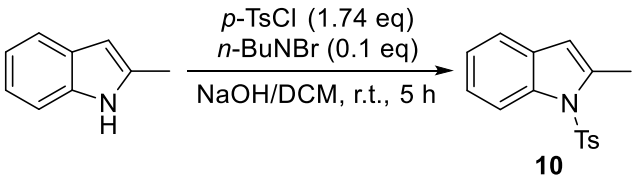
Parallel run:



To an oven-dried sealed tube (10 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added $[Rh(COD)Cl]_2$ (4.9 mg, 0.01 mmol, 5 mol %), (p-MeO-C_6H_4)$_3$P (14.1 mg, 0.04 mmol, 20 mol %), K_2CO_3 (27.6 mg, 0.2 mmol, 1.0 equiv), 5-*tert*-butylsalicylaldehyde **1c** (35.6 mg, 0.2 mmol, 1.0 equiv) or 5-*tert*-butylsalicylaldehyde-α-d_1 ***d*-1c** (35.8 mg, 0.2 mmol, 1.0 equiv), and vinyl

benzoxazinanone **2a** (98.8 mg, 0.3 mmol, 1.5 equiv). Then anhydrous toluene (2.0 mL, 0.1 M) was added. The tube was sealed and removed from the glove box. The mixture was stirred at room temperature for 15 min, and heated at 110 ℃ for 30 min using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). The reaction mixture was cooled to room temperature. The yield was determined by ^{1}H NMR analysis of the crude reaction mixture using 1,3,5-trimethoxybenzene as the internal standard. KIE value (k_H/k_D = 2.0) was determined by the ratio of **3ca** yield (24%) to ***d*-3ca** yield (12%).

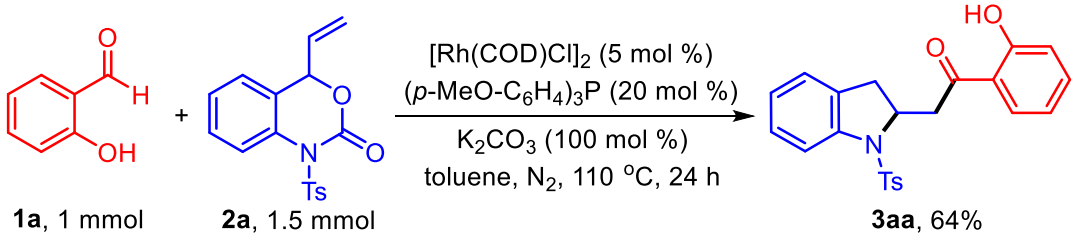
(9) Preparation of 2-Methyl-1-tosyl-1*H*-indole 10



10

2-Methyl-1-tosyl-1*H*-indole **10** was prepared according to the literature procedure with the exception of using *n*-BuNBr as the phase transfer catalyst.[5] Aqueous sodium hydroxide solution (50%, 14.4 g, 180 mmol) was added to a solution of 2-methylindole (918.3 mg, 7 mmol, 1 equiv) and tetrabutylammonium bromide (225.7 mg, 0.7 mmol, 0.1 equiv) in dichloromethane (35 mL). A solution of *p*-toluenesulfonyl chloride (2.34 g, 12.2 mmol, 1.74 equiv) in dichloromethane (6 mL) was added dropwise to the reaction mixture for 30 min. The two-phase solution was stired vigorously at room temperature for 5 h. The resulting mixture was extracted five times with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, and evaporated. The residue was purified by silica gel chromatography (*n*-hexane/EtOAc = 20:1) to give **10** as a pale pink oil, 400 mg (20%).

(10) Scale-Up Synthesis of 3aa

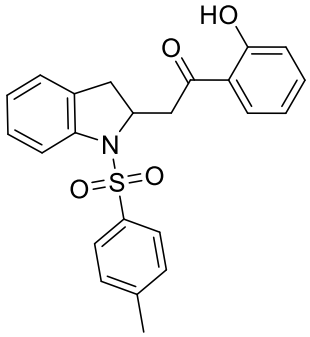


1a, 1 mmol **2a**, 1.5 mmol **3aa**, 64%

To an oven-dried sealed tube (35 mL) equipped with a stirrer bar in the glove box (filled with N_2) was added $[Rh(COD)Cl]_2$ (24.7 mg, 0.05 mmol, 5 mol %), (*p*-MeO-$C_6H_4)_3P$ (70.5 mg, 0.2 mmol, 20 mol %), K_2CO_3 (138.2 mg, 1 mmol, 100 mol %), salicylaldehyde **1a** (122.1 mg, 1 mmol, 1.0 equiv), and vinyl benzoxazinanone **2a** (494.1 mg, 1.5 mmol, 1.5 equiv). Then anhydrous toluene (10 mL, 0.1 M) was added. The tube was sealed and removed from the glove box. The mixture was stirred at room temperature for 15 min, and then heated at 110 ℃ for 24 h using a Heidolph MR Hei-Tec heating magnetic stirrer (Heidolph Instruments, Germany). Upon completion, the reaction mixture was cooled to room temperature and concentrated. The residue was purified by silica gel chromatography (*n*-hexane/EtOAc = 80:1) to afford the desired product **3aa** as a white solid, 260.8 mg (64%).

3. Characterization of Materials

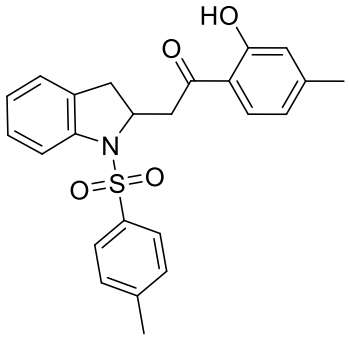
1-(2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3aa)



3aa

According to the General Procedure, the product **3aa** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 30.6 mg (75%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.06 (s, 1H), 7.80 (d, J = 8.0 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.58 (d, J = 8.0 Hz, 2H), 7.47-7.51 (m, 1H), 7.22-7.24 (m, 1H), 7.19 (d, J = 8.0 Hz, 2H), 7.01-7.04 (m, 2H), 6.98 (d, J = 8.4 Hz, 1H), 6.90-6.94 (m, 1H), 4.74-4.79 (m, 1H), 3.85 (dd, J = 17.2 Hz, 2.8 Hz, 1H), 3.38 (dd, J = 17.2 Hz, 10.8 Hz, 1H), 3.06 (dd, J = 16.4 Hz, 9.6 Hz, 1H), 2.62 (dd, J = 16.4 Hz, 2.4 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.2, 162.5, 144.3, 141.1, 136.9, 134.7, 131.3, 130.3, 129.8, 128.0, 127.2, 125.5, 124.9, 119.4, 119.3, 118.6, 117.1, 58.7, 45.9, 35.1, 21.6; HRMS (ESI-TOF) calcd for $C_{23}H_{22}NO_4S$ $[M+H]^+$ (408.1270), found 408.1259.

1-(2-hydroxy-4-methylphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ba)

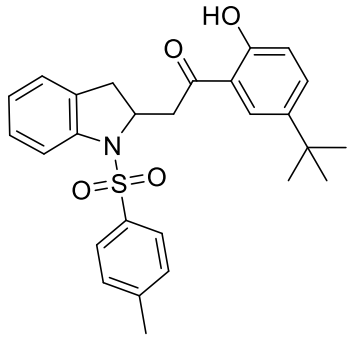


3ba

According to the General Procedure, the product **3ba** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 29.9 mg (71%). ^{1}H NMR

(400 MHz, $CDCl_3$) δ 12.09 (s, 1H), 7.70 (d, J = 8.0 Hz, 1H), 7.66 (d, J = 8.0 Hz, 1H), 7.56-7.59 (m, 2H), 7.21-7.25 (m, 1H), 7.18-7.20 (m, 2H), 7.03-7.05 (m, 2H), 6.78 (s, 1H), 6.72-6.74 (m, 1H), 4.72-4.79 (m, 1H), 3.80 (dd, J = 17.2 Hz, 3.2 Hz, 1H), 3.33 (dd, J = 17.2 Hz, 10.8 Hz, 1H), 3.04 (dd, J = 16.8 Hz, 9.6 Hz, 1H), 2.62 (dd, J = 16.8 Hz, 3.2 Hz, 1H), 2.36 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.5, 162.6, 148.6, 144.2, 141.2, 134.7, 131.4, 130.1, 129.8, 128.0, 127.1, 125.5, 124.9, 120.7, 118.6, 117.3, 117.1, 58.8, 45.7, 35.1, 22.1, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_4S$ $[M+H]^+$ (422.1426), found 422.1404.

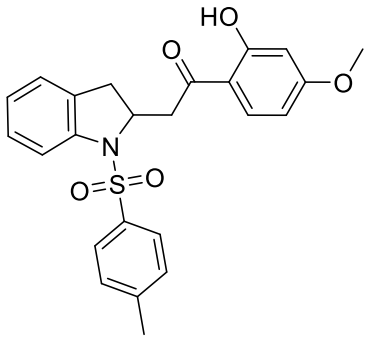
1-(5-(*tert*-butyl)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ca)



3ca

According to the General Procedure, the product **3ca** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 33.8 mg (73%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.96 (s, 1H), 7.72-7.74 (m, 2H), 7.54-7.60 (m, 3H), 7.23-7.27 (m, 1H), 7.19 (d, J = 8.0 Hz, 2H), 7.02-7.06 (m, 2H), 6.93 (d, J = 8.8 Hz, 1H), 4.76-4.82 (m, 1H), 3.87 (dd, J = 17.2 Hz, 2.8 Hz, 1H), 3.38 (dd, J = 17.2 Hz, 10.8 Hz, 1H), 3.06 (dd, J = 16.8 Hz, 9.6 Hz, 1H), 2.64 (dd, J = 16.8 Hz, 2.8 Hz, 1H), 2.36 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.2, 160.4, 144.3, 142.2, 141.1, 134.7, 134.6, 131.4, 129.8, 128.0, 127.1, 126.0, 125.5, 124.9, 118.7, 118.2, 117.1, 58.8, 45.9, 35.1, 34.3, 31.4, 21.6; HRMS (ESI-TOF) calcd for $C_{27}H_{30}NO_4S$ $[M+H]^+$ (464.1896), found 464.1875.

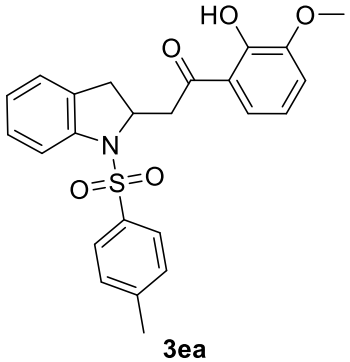
1-(2-hydroxy-4-methoxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3da)



3da

According to the General Procedure, the product **3da** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 31.5 mg (72%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.56 (s, 1H), 7.68-7.71 (m, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.21-7.25 (m, 1H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.01-7.05 (m, 2H), 6.46 (dd, *J* = 8.8 Hz, 2.4 Hz, 1H), 6.41 (d, *J* = 2.4 Hz, 1H), 4.72-4.78 (m, 1H), 3.84 (s, 3H), 3.75 (dd, *J* = 16.8 Hz, 3.2 Hz, 1H), 3.27 (dd, *J* = 16.8 Hz, 10.4 Hz, 1H), 3.02 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.63 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.0, 166.4, 165.4, 144.2, 141..1, 134.6, 131.9, 131.4, 129.8, 128.0, 127.1, 125.5, 124.8, 117.1, 113.6, 108.0, 101.0, 58.9, 55.7, 45.4, 35.0, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_5S$ $[M+H]^+$ (438.1375), found 438.1355.

1-(2-hydroxy-3-methoxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ea)

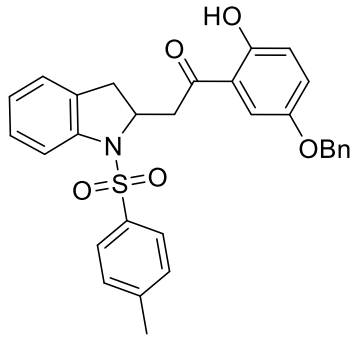


3ea

According to the General Procedure, the product **3ea** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 30.2 mg (69%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.29 (s, 1H), 7.63 (d, *J* = 8.4 Hz, 1H), 7.50 (d, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 7.6 Hz, 1H), 7.14-7.18 (m, 1H), 7.11 (d, *J* = 8.4 Hz, 2H), 6.96-7.01 (m, 3H), 6.77-6.81 (m, 1H), 4.66-4.72 (m, 1H), 3.84 (s, 3H), 3.78 (dd, *J* = 17.6 Hz, 3.2 Hz,

1H), 3.31 (dd, *J* = 17.6 Hz, 10.4 Hz, 1H), 2.98 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.54 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.6, 152.9, 149.0, 144.3, 141.1, 134.6, 131.3, 129.8, 128.0, 127.1, 125.5, 124.9, 121.3, 119.4, 118.7, 117.3, 117.1, 58.6, 56.3, 46.3, 35.1, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_5S$ $[M+H]^+$ (438.1375), found 438.1353.

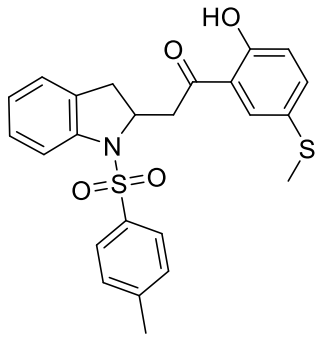
1-(5-(benzyloxy)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3fa)



3fa

According to the General Procedure, the product **3fa** was obtained as a light-yellow solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 37.5 mg (73%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.74 (s, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.43-7.45 (m, 2H), 7.30-7.40 (m, 4H), 7.18-7.24 (m, 4H), 7.00-7.05 (m, 2H), 6.93 (d, *J* = 8.8 Hz, 1H), 5.08 (d, *J* = 15.2 Hz, 1H), 5.06 (d, *J* = 15.2 Hz, 1H), 4.74-4.79 (m, 1H), 3.80 (dd, *J* = 16.8 Hz, 2.4 Hz, 1H), 3.29 (dd, *J* = 16.8 Hz, 10.8 Hz, 1H), 3.04 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.63 (dd, *J* = 16.8 Hz, 1.6 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.6, 157.2, 151.1, 144.3, 141.0, 136.7, 134.6, 131.3, 129.8, 128.7, 128.2, 128.1, 127.7, 127.1, 126.5, 125.5, 124.9, 119.6, 118.7, 117.1, 113.4, 71.0, 58.8, 46.1, 34.9, 21.6; HRMS (ESI-TOF) calcd for $C_{30}H_{28}NO_5S$ $[M+H]^+$ (514.1688), found 514.1667.

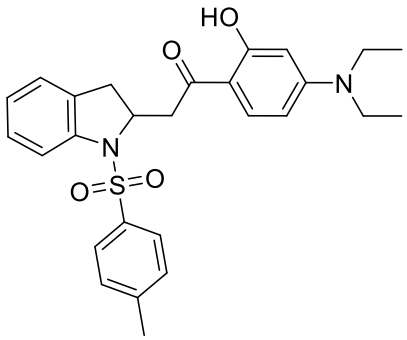
1-(2-hydroxy-5-(methylthio)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ga)



3ga

According to the General Procedure, the product **3ga** was obtained as a light-yellow solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 29.5 mg (65%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.99 (s, 1H), 7.75 (d, J = 2.0 Hz, 1H), 7.71 (d, J = 8.0 Hz, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.48 (dd, J = 8.8 Hz, 2.0 Hz, 1H), 7.22-7.25 (m, 1H), 7.19 (d, J = 8.0 Hz, 2H), 7.02-7.08 (m, 2H), 6.94 (d, J = 8.8 Hz, 1H), 4.72-4.79 (m, 1H), 3.84 (dd, J = 17.2 Hz, 3.2 Hz, 1H), 3.36 (dd, J = 17.2 Hz, 10.4 Hz, 1H), 3.05 (dd, J = 16.4 Hz, 9.6 Hz, 1H), 2.62 (dd, J = 16.8 Hz, 2.8 Hz, 1H), 2.48 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.7, 161.0, 144.3, 141.0, 138.0, 134.5, 131.2, 130.2, 129.8, 128.1, 127.9, 127.1, 125.5, 124.9, 119.7, 119.4, 117.1, 58.6, 46.0, 35.0, 21.6, 18.2; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_4S_2$ $[M+H]^+$ (454.1147), found 454.1127.

1-(4-(diethylamino)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ha)

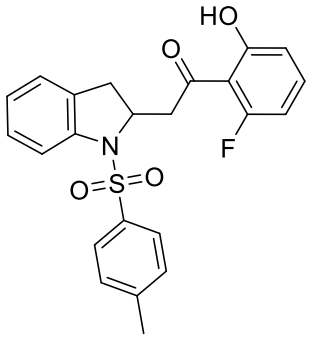


3ha

According to the General Procedure, the product **3ha** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 50:1), 42.1 mg (88%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.76 (s, 1H), 7.70 (d, J = 8.0 Hz, 1H), 7.57-7.60 (m, 3H),

7.20-7.25 (m, 1H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.00-7.05 (m, 2H), 6.21 (dd, *J* = 9.2 Hz, 2.8 Hz, 1H), 6.06 (d, *J* = 2.4 Hz, 1H), 4.71-4.78 (m, 1H), 3.67 (dd, *J* = 16.0 Hz, 3.2 Hz, 1H), 3.40 (q, *J* = 7.2 Hz, 4H), 3.15 (dd, *J* = 16.0 Hz, 11.2 Hz, 1H), 2.96 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.67 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.35 (s, 3H), 1.20 (t, *J* = 7.2 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.3, 165.3, 154.0, 144.1, 141.1, 134.7, 132.2, 131.7, 129.8, 127.8, 127.1, 125.5, 124.8, 117.1, 109.5, 104.1, 97.0, 59.4, 44.74, 44.66, 34.8, 21.6, 12.7; HRMS (ESI-TOF) calcd for $C_{27}H_{31}N_2O_4S$ $[M+H]^+$ (479.2005), found 479.1985.

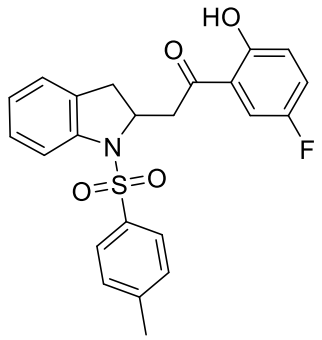
1-(2-fluoro-6-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ia)



3ia

According to the General Procedure, the product **3ia** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 20.4 mg (48%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.55 (s, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.37-7.43 (m, 1H), 7.22-7.25 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.01-7.06 (m, 2H), 6.79 (d, *J* = 8.4 Hz, 1H), 6.59-6.64 (m, 1H), 4.76-4.82 (m, 1H), 3.80-3.87 (m, 1H), 3.43-3.52 (m, 1H), 3.10 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.55 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.2 (d, *J* = 4.1 Hz), 163.3 (d, *J* = 255.9 Hz), 163.9 (d, *J* = 4.7 Hz), 144.2, 141.2, 136.7 (d, *J* = 12.7 Hz), 134.7, 131.5, 129.8, 128.0, 127.2, 125.4, 124.8, 117.3, 114.4 (d, *J* = 3.0 Hz), 110.0 (d, *J* = 4.3 Hz), 106.5 (d, *J* = 24.1 Hz), 58.2 (d, *J* = 3.4 Hz), 51.4 (d, *J* = 12.1 Hz), 35.6, 21.6; HRMS (ESI-TOF) calcd for $C_{23}H_{21}FNO_4S$ $[M+H]^+$ (426.1175), found 426.1152.

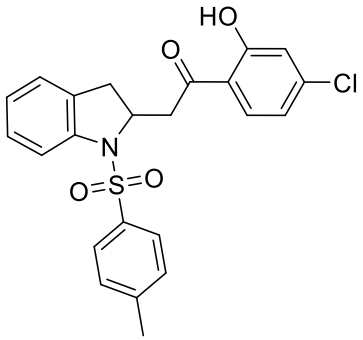
1-(5-fluoro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ja)



3ja

According to the General Procedure, the product **3ja** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 17.9 mg (42%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.81 (s, 1H), 7.71 (d, J = 7.6 Hz, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.46 (dd, J = 8.8 Hz, 3.2 Hz, 1H), 7.22-7.26 (m, 2H), 7.20 (d, J = 8.0 Hz, 2H), 7.02-7.07 (m, 2H), 6.96 (dd, J = 9.2 Hz, 4.8 Hz, 1H), 4.72-4.78 (m, 1H), 3.80 (dd, J = 17.2 Hz, 3.2 Hz, 1H), 3.34 (dd, J = 17.6 Hz, 10.4 Hz, 1H), 3.07 (dd, J = 16.8 Hz, 9.2 Hz, 1H), 2.60 (dd, J = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.4 (d, J = 2.4 Hz), 158.7, 155.0 (d, J = 237.9 Hz), 144.3, 141.0, 134.5, 131.1, 129.8, 128.1, 127.1, 125.5, 124.9, 124.6 (d, J = 23.7 Hz), 120.0 (d, J = 7.2 Hz), 118.8 (d, J = 5.9 Hz), 117.1, 115.1 (d, J = 23.2 Hz), 58.4, 46.0, 35.2, 21.7; HRMS (ESI-TOF) calcd for $C_{23}H_{21}FNO_4S$ $[M+H]^+$ (426.1175), found 426.1152.

1-(4-chloro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ka)

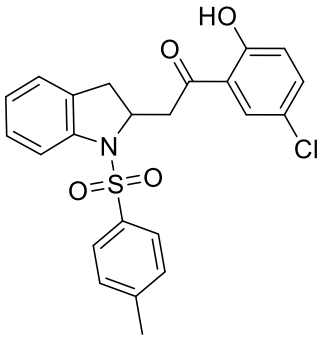


3ka

According to the General Procedure, the product **3ka** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 23.4 mg (53%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.20 (s, 1H), 7.73 (d, J = 8.8 Hz, 1H), 7.70 (d, J = 8.0 Hz, 1H),

7.57 (d, *J* = 8.4 Hz, 2H), 7.22-7.25 (m, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 7.02-7.05 (m, 2H), 7.00 (d, *J* = 2.0 Hz, 1H), 6.91 (dd, *J* = 8.8 Hz, 2.0 Hz, 1H), 4.70-4.77 (m, 1H), 3.80 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.33 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 3.05 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.62 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.5, 163.1, 144.3, 142.7, 141.0, 134.5, 131.3, 131.2, 129.9, 128.1, 127.1, 125.5, 125.0, 120.1, 118.7, 118.0, 117.1, 58.6, 46.0, 35.1, 21.7; HRMS (ESI-TOF) calcd for $C_{23}H_{21}ClNO_4S$ $[M+H]^+$ (442.0880), found 442.0858.

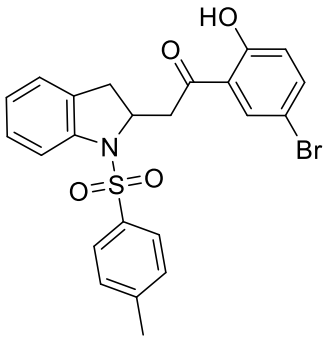
1-(5-chloro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3la)



3la

According to the General Procedure, the product **3la** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 28.7 mg (65%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.95 (s, 1H), 7.75 (d, *J* = 2.4 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.43 (dd, *J* = 8.8 Hz, 2.4 Hz, 1H), 7.22-7.25 (m, 1H), 7.20 (d, *J* = 8.4 Hz, 2H), 7.02-7.05 (m, 2H), 6.94 (d, *J* = 8.8 Hz, 1H), 4.71-4.77 (m, 1H), 3.81 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.35 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 3.07 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.59 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.4, 160.9, 144.3, 141.1, 136.8, 134.6, 131.1, 129.8, 129.4, 128.1, 127.1, 125.4, 124.9, 124.1, 120.2, 119.9, 117.1, 58.5, 46.0, 35.2, 21.6; HRMS (ESI-TOF) calcd for $C_{23}H_{21}ClNO_4S$ $[M+H]^+$ (442.0880), found 442.0858.

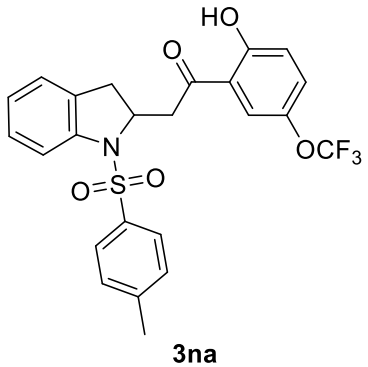
1-(5-bromo-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ma)



3ma

According to the General Procedure, the product **3ma** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 31.6 mg (65%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.97 (s, 1H), 7.90 (d, J = 2.4 Hz, 1H), 7.72 (d, J = 8.4 Hz, 1H), 7.55-7.60 (m, 3H), 7.23-7.27 (m, 1H), 7.20 (d, J = 8.4 Hz, 2H), 7.02-7.07 (m, 2H), 6.90 (d, J = 8.8 Hz, 1H), 4.70-4.77 (m, 1H), 3.82 (dd, J = 17.6 Hz, 3.2 Hz, 1H), 3.35 (dd, J = 17.6 Hz, 10.4 Hz, 1H), 3.07 (dd, J = 16.8 Hz, 9.2 Hz, 1H), 2.59 (dd, J = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.4, 161.3, 144.3, 141.0, 139.6, 134.5, 132.5, 131.1, 129.9, 128.1, 127.1, 125.5, 125.0, 120.6, 120.5, 117.1, 110.9, 58.4, 46.1, 35.2, 21.7; HRMS (ESI-TOF) calcd for $C_{23}H_{21}BrNO_4S$ $[M+H]^+$ (486.0375), found 486.0353.

1-(2-hydroxy-5-(trifluoromethoxy)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3na)

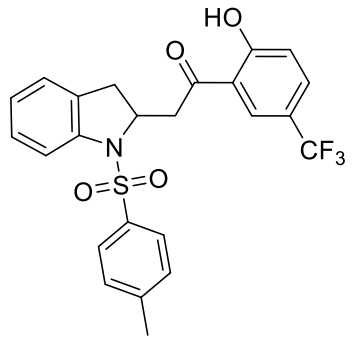


3na

According to the General Procedure, the product **3na** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 30.0 mg (61%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.02 (s, 1H), 7.72 (d, J = 8.4 Hz, 1H), 7.63 (d, J = 2.4 Hz, 1H),

7.57-7.59 (m, 2H), 7.38 (dd, *J* = 9.2 Hz, 2.4 Hz, 1H), 7.22-7.28 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.04-7.08 (m, 2H), 7.02 (d, *J* = 9.2 Hz, 1H), 4.72-4.79 (m, 1H), 3.81 (dd, *J* = 17.6 Hz, 3.2 Hz, 1H), 3.36 (dd, *J* = 17.6 Hz, 10.4 Hz, 1H), 3.08 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.60 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.5, 161.0, 144.3, 141.0, 140.7 (q, *J* = 2.0 Hz), 134.4, 131.1, 130.5, 129.8, 128.1, 127.1, 125.5, 125.0, 122.7, 120.5(q, *J* = 255.7 Hz), 120.1, 119.0, 117.2, 58.4, 46.0, 35.1, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{21}F_3NO_5S$ $[M+H]^+$ (492.1093), found 492.1071.

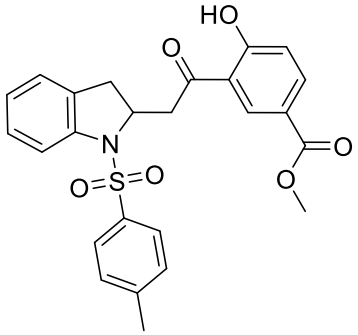
1-(2-hydroxy-5-(trifluoromethyl)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3oa)



3oa

According to the General Procedure, the product **3oa** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 20.4 mg (43%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.36 (s, 1H), 8.06 (d, *J* = 1.2 Hz, 1H), 7.70-7.73 (m, 2H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.23-7.27 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 8.8 Hz, 1H), 7.03-7.06 (m, 2H), 4.73-4.79 (m, 1H), 3.87 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.42 (dd, *J* = 17.2 Hz, 10.0 Hz, 1H), 3.08 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.61 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.9, 164.7, 144.3, 141.0, 134.4, 133.1 (q, *J* = 3.1 Hz), 131.0, 129.8, 128.2, 127.8 (q, *J* = 3.8 Hz), 127.1, 125.4, 125.0, 123.7 (q, *J* = 269.8 Hz), 121.7 (q, *J* = 33.2 Hz), 119.5, 118.7, 117.1, 58.4, 46.0, 35.1, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{21}F_3NO_4S$ $[M+H]^+$ (476.1143), found 476.1121.

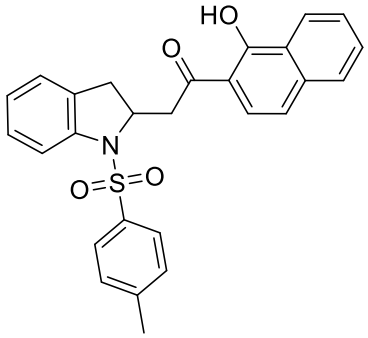
methyl 4-hydroxy-3-(2-(1-tosylindolin-2-yl)acetyl)benzoate (3pa)



3pa

According to the General Procedure with the exception of using $[Rh(COE)_2Cl]_2$ as the catalyst, the product **3pa** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 40:1), 19.6 mg (42%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.46 (s, 1H), 8.50 (d, *J* = 1.6 Hz, 1H), 8.16 (dd, *J* = 8.8 Hz, 1.6 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.24-7.28 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.02-7.05 (m, 3H), 4.75-4.82 (m, 1H), 3.88-3.92 (m, 4H), 3.49 (dd, *J* = 17.6 Hz, 10.0 Hz, 1H), 3.09 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.60 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.3, 165.9, 165.8, 144.3, 141.1, 137.6, 134.5, 132.6, 131.2, 129.8, 128.1, 127.2, 125.5, 125.0, 121.5, 118.9, 118.7, 117.2, 58.4, 52.3, 46.1, 35.3, 21.7; HRMS (ESI-TOF) calcd for $C_{25}H_{24}NO_6S$ $[M+H]^+$ (466.1324), found 466.1303.

1-(1-hydroxynaphthalen-2-yl)-2-(1-tosylindolin-2-yl)ethan-1-one (3qa)

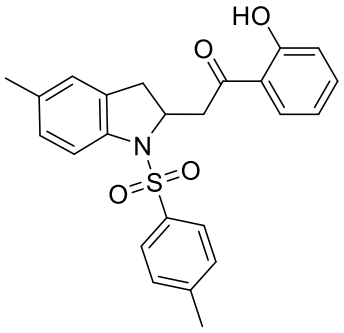


3qa

According to the General Procedure, the product **3qa** was obtained as a yellow solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 16.9 mg (37%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 13.81 (s, 1H), 8.46 (d, *J* = 8.4 Hz, 1H), 7.69-7.78 (m, 3H), 7.63-7.66 (m, 1H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.52-7.56 (m, 1H), 7.22-7.31 (m, 2H),

7.20 (d, *J* = 8.0 Hz, 2H), 7.02-7.05 (m, 2H), 4.80-4.85 (m, 1H), 3.92 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.44 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 3.07 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.68 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.36 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.8, 162.8, 144.2, 141.2, 137.6, 134.7, 131.4, 130.4, 129.8, 128.0, 127.6, 127.2, 126.1, 125.5, 125.3, 124.9, 124.5, 124.2, 118.9, 117.2, 113.0, 58.8, 46.2, 35.1, 21.7; HRMS (ESI-TOF) calcd for $C_{27}H_{24}NO_4S$ $[M+H]^+$ (458.1426), found 458.1404.

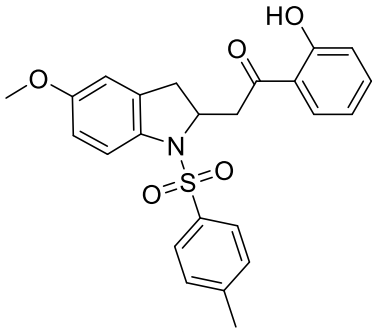
1-(2-hydroxyphenyl)-2-(5-methyl-1-tosylindolin-2-yl)ethan-1-one (3ab)



3ab

According to the General Procedure, the product **3ab** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 120:1-80:1), 26.6 mg (63%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.07 (s, 1H), 7.79 (dd, *J* = 8.4 Hz, 1.6 Hz, 1H), 7.56-7.59 (m, 3H), 7.46-7.51 (m, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.4 Hz, 1H), 6.98 (dd, *J* = 8.4 Hz, 0.8 Hz, 1H), 6.90-6.94 (m, 1H), 6.85 (s, 1H), 4.71-4.77 (m, 1H), 3.82 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.36 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 2.99 (dd, *J* = 16.4 Hz, 9.2 Hz, 1H), 2.55 (dd, *J* = 16.4 Hz, 2.8 Hz, 1H), 2.36 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.3, 162.5, 144.1, 138.7, 136.9, 134.7, 134.6, 131.5, 130.3, 129.8, 128.6, 127.2, 126.1, 119.4, 119.3, 118.6, 117.0, 58.8, 45.9, 35.1, 21.6, 21.1; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_4S$ $[M+H]^+$ (422.1426), found 422.1404.

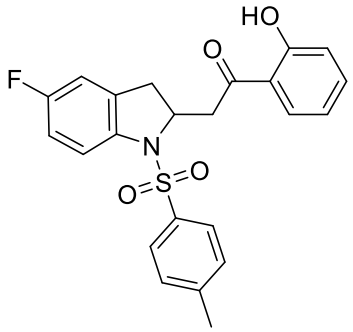
1-(2-hydroxyphenyl)-2-(5-methoxy-1-tosylindolin-2-yl)ethan-1-one (3ac)



3ac

According to the General Procedure, the product **3ac** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 30.6 mg (70%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.05 (s, 1H), 7.78 (dd, *J* = 8.4 Hz, 1.6 Hz, 1H), 7.61 (d, *J* = 8.8 Hz, 1H), 7.52-7.55 (m, 2H), 7.46-7.51 (m, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.98 (dd, *J* = 8.4 Hz, 0.8 Hz, 1H), 6.90-6.94 (m, 1H), 6.78 (dd, *J* = 8.8 Hz, 2.4 Hz, 1H), 6.58-6.59 (m, 1H), 4.71-4.77 (m, 1H), 3.78 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.76 (s, 3H), 3.35 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 2.92 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.55 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.2, 162.5, 157.7, 144.1, 136.9, 134.4, 133.3, 130.3, 129.8, 127.3, 119.4, 119.3, 118.6, 118.5, 113.3, 111.0, 59.1, 55.7, 45.7, 35.3, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{24}NO_5S$ $[M+H]^+$ (438.1375), found 438.1353.

2-(5-fluoro-1-tosylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ad)

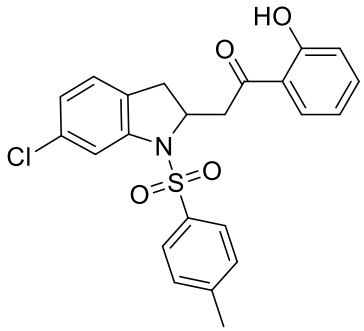


3ad

According to the General Procedure, the product **3ad** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 26.0 mg (61%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.02 (s, 1H), 7.78 (dd, *J* = 8.0 Hz, 1.6 Hz, 1H), 7.65 (dd, *J* = 8.8 Hz, 4.4 Hz, 1H), 7.54-7.56 (m, 2H), 7.47-7.52 (m, 1H), 7.21 (d, *J* = 8.0 Hz, 2H),

6.99 (dd, *J* = 8.4 Hz, 0.8 Hz, 1H), 6.91-6.96 (m, 2H), 6.73-6.76 (m, 1H), 4.74-4.80 (m, 1H), 3.81 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.37 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 2.99 (dd, *J* = 17.2 Hz, 9.6 Hz, 1H), 2.58 (dd, *J* = 17.2 Hz, 2.8 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.0, 162.5, 160.5 (d, *J* = 242.2 Hz), 144.5, 137.2 (d, *J* = 2.0 Hz), 137.0, 134.2, 133.7 (d, *J* = 8.5 Hz), 130.2, 129.9, 127.2, 119.4, 118.6, 118.4 (d, *J* = 8.6 Hz), 114.7 (d, *J* = 23.3 Hz), 112.6 (d, *J* = 23.9 Hz), 59.2, 45.7, 35.1, 21.6; HRMS (ESI-TOF) calcd for $C_{23}H_{21}FNO_4S$ $[M+H]^+$ (426.1175), found 426.1153.

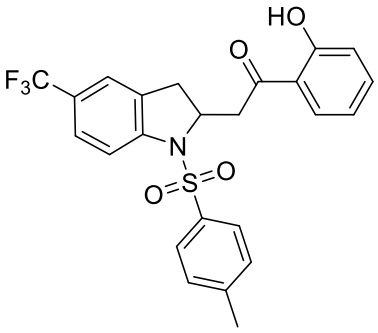
2-(6-chloro-1-tosylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ae)



3ae

According to the General Procedure, the product **3ae** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 31.8 mg (72%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.01 (s, 1H), 7.79 (dd, *J* = 8.0 Hz, 1.6 Hz, 1H), 7.72 (d, *J* = 2.0 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.48-7.52 (m, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.91-7.02 (m, 4H), 4.74-4.80 (m, 1H), 3.85 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 3.38 (dd, *J* = 17.2 Hz, 10.8 Hz, 1H), 3.05 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.60 (dd, *J* = 16.8 Hz, 3.2 Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.0, 162.5, 144.6, 142.5, 137.0, 134.5, 133.8, 130.2, 130.0, 129.7, 127.2, 126.2, 124.9, 119.40, 119.36, 118.7, 117.2, 59.3, 45.9, 34.8, 21.7; HRMS (ESI-TOF) calcd for $C_{23}H_{21}ClNO_4S$ $[M+H]^+$ (442.0880), found 442.0859.

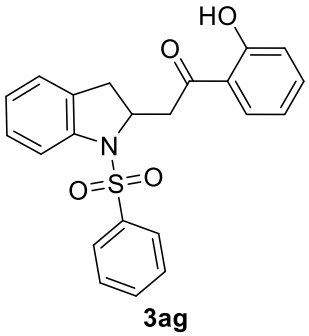
1-(2-hydroxyphenyl)-2-(1-tosyl-5-(trifluoromethyl)indolin-2-yl)ethan-1-one (3af)



3af

According to the General Procedure, the product **3af** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 25.7 mg (54%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.00 (s, 1H), 7.77-7.80 (m, 2H), 7.61-7.63 (m, 2H), 7.48-7.52 (m, 2H), 7.29 (s, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.99 (dd, *J* = 8.4 Hz, 0.8 Hz, 1H), 6.91-6.95 (m, 1H), 4.79-4.86 (m, 1H), 3.91 (dd, *J* = 17.2 Hz, 2.8 Hz, 1H), 3.41 (dd, *J* = 17.2 Hz, 10.4 Hz, 1H), 3.19 (dd, *J* = 17.2 Hz, 9.6 Hz, 1H), 2.72 (dd, *J* = 17.2 Hz, 3.2 Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.7, 162.5, 144.8, 144.2 (q, *J* = 0.9 Hz), 137.0, 134.4, 131.7, 130.1, 130.0, 127.0, 126.7 (q, *J* = 32.2 Hz), 125.6 (q, *J* = 3.9 Hz), 124.1 (q, *J* = 270.0 Hz), 122.6 (q, *J* = 3.6 Hz), 119.3, 119.2, 118.6, 116.2, 59.0, 45.8, 34.9, 21.6; HRMS (ESI-TOF) calcd for $C_{24}H_{21}F_3NO_4S$ $[M+H]^+$ (476.1143), found 476.1124.

1-(2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3ag)

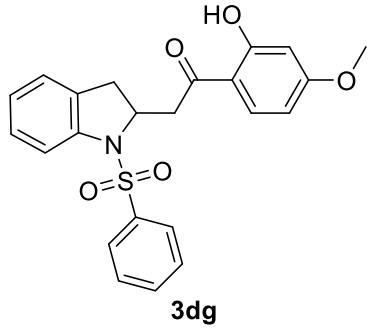


3ag

According to the General Procedure, the product **3ag** was obtained as a light-yellow solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 27.9 mg (71%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.07 (s, 1H), 7.78 (d, *J* = 7.2 Hz, 1H), 7.69-7.74 (m, 3H), 7.53-7.56 (m, 1H), 7.47-7.51 (m, 1H), 7.39-7.43 (m, 2H), 7.23-7.27 (m, 1H),

7.02-7.07 (m, 2H), 6.98 (d, *J* = 8.4 Hz, 1H), 6.90-6.94 (m, 1H), 4.76-4.82 (m, 1H), 3.84 (dd, *J* = 17.2 Hz, 2.8 Hz, 1H), 3.39 (dd, *J* = 17.2 Hz, 10.8 Hz, 1H), 3.04 (dd, *J* = 16.8 Hz, 9.6 Hz, 1H), 2.62 (dd, *J* = 16.8 Hz, 2.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.1, 162.4, 140.9, 137.4, 136.9, 133.3, 131.3, 130.2, 129.2, 128.1, 127.0, 125.5, 125.0, 119.32, 119.29, 118.6, 117.1, 58.7, 45.8, 35.1; HRMS (ESI-TOF) calcd for $C_{22}H_{20}NO_4S$ $[M+H]^+$ (394.1113), found 394.1091.

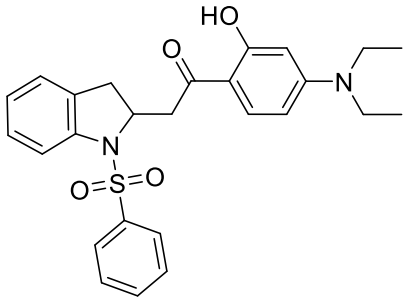
1-(2-hydroxy-4-methoxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3dg)



3dg

According to the General Procedure, the product **3dg** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 29.2 mg (69%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.54 (s, 1H), 7.68-7.72 (m, 4H), 7.52-7.55 (m, 1H), 7.38-7.42 (m, 2H), 7.22-7.25 (m, 1H), 7.02-7.05 (m, 2H), 6.47 (dd, *J* = 9.2 Hz, 2.4 Hz, 1H), 6.42 (d, *J* = 2.4 Hz, 1H), 4.74-4.79 (m, 1H), 3.84 (s, 3H), 3.74 (dd, *J* = 16.8 Hz, 3.2 Hz, 1H), 3.28 (dd, *J* = 16.8 Hz, 10.8 Hz, 1H), 3.00 (dd, *J* = 16.4 Hz, 9.2 Hz, 1H), 2.64 (dd, *J* = 16.4 Hz, 2.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.9, 166.5, 165.4, 141.0, 137.6, 133.3, 131.9, 131.4, 129.2, 128.0, 127.1, 125.5, 125.0, 117.1, 113.6, 108.0, 101.1, 59.0, 55.7, 45.4, 35.0; HRMS (ESI-TOF) calcd for $C_{23}H_{22}NO_5S$ $[M+H]^+$ (424.1219), found 424.1195.

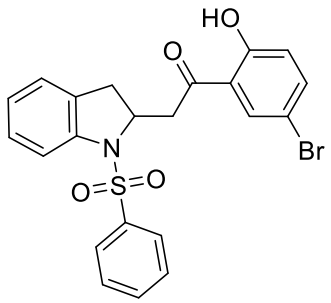
1-(4-(diethylamino)-2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3hg)



3hg

According to the General Procedure, the product **3hg** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 40:1), 32.5 mg (70%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.76 (s, 1H), 7.69-7.73 (m, 3H), 7.58 (d, J = 9.2 Hz, 1H), 7.51-7.54 (m, 1H), 7.37-7.41 (m, 2H), 7.21-7.25 (m, 1H), 7.03-7.04 (m, 2H), 6.21 (dd, J = 9.2 Hz, 1.6 Hz, 1H), 6.06 (d, J = 1.6 Hz, 1H), 4.74-4.79 (m, 1H), 3.66 (dd, J = 16.0 Hz, 2.8 Hz, 1H), 3.40 (q, J = 7.2 Hz, 4H), 3.16 (dd, J = 16.0 Hz, 11.2 Hz, 1H), 2.94 (dd, J = 16.4 Hz, 9.2 Hz, 1H), 2.67 (dd, J = 16.4 Hz, 2.0 Hz, 1H), 1.20 (t, J = 7.2 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 165.3, 154.0, 141.0, 137.7, 133.2, 132.2, 131.7, 129.1, 127.9, 127.0, 125.5, 124.9, 117.1, 109.5, 104.1, 97.0, 59.5, 44.7, 44.6, 34.7, 12.7; HRMS (ESI-TOF) calcd for $C_{26}H_{29}N_2O_4S$ $[M+H]^+$ (465.1848), found 465.1830.

1-(5-bromo-2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3mg)

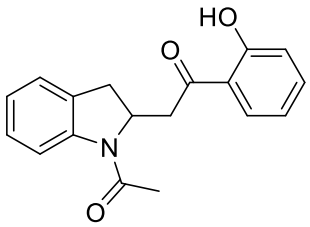


3mg

According to the General Procedure, the product **3mg** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 60:1), 29.3 mg (62%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.96 (s, 1H), 7.90 (d, J = 2.0 Hz, 1H), 7.70-7.74 (m, 3H),

7.54-7.57 (m, 2H), 7.40-7.44 (m, 2H), 7.24-7.28 (m, 1H), 7.05-7.08 (m, 2H), 6.90 (d, *J* = 8.8 Hz, 1H), 4.73-4.78 (m, 1H), 3.81 (dd, *J* = 17.6 Hz, 2.8 Hz, 1H), 3.37 (dd, *J* = 17.6 Hz, 10.4 Hz, 1H), 3.05 (dd, *J* = 16.8 Hz, 9.2 Hz, 1H), 2.59 (dd, *J* = 16.8 Hz, 2.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.3, 161.4, 140.9, 139.6, 137.5, 133.4, 132.5, 131.1, 129.3, 128.2, 127.1, 125.5, 125.1, 120.7, 120.5, 117.2, 110.9, 58.5, 46.0, 35.1; HRMS (ESI-TOF) calcd for $C_{22}H_{19}BrNO_4S$ $[M+H]^+$ (472.0218), found 472.0193.

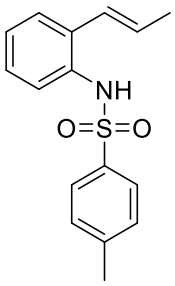
2-(1-acetylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ah)



3ah

According to the General Procedure, the product **3ah** was obtained as a white solid after silica gel chromatography (*n*-hexane/EtOAc = 15:1), 10.0 mg (34%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.16 (s, 1H), 12.05 (s, 0.6H), 8.16 (d. *J* = 6.0 Hz, 0.6H), 7.94 (d. *J* = 7.2 Hz, 1H), 7.61 (d. *J* = 5.2 Hz, 0.6H), 7.42-7.49 (m, 1.6H), 7.21-7.24 (s, 3H), 7.11 (d. *J* = 7.2 Hz, 1H), 7.04-7.07 (m, 1.6H), 6.96-6.98 (m, 1.6H), 6.89-6.91 (m, 1.6H), 5.24-5.28 (m, 1H), 5.00-5.04 (m, 0.6H), 3.71 (d, *J* = 14.8 Hz, 1H), 3.46-3.58 (m, 1H), 3.36 (dd, *J* = 16.0 Hz, 8.8 Hz, 1H), 3.23 (d, *J* = 17.6 Hz, 0.6H), 2.91-2.98 (m, 1H), 2.86 (d, *J* = 16.4 Hz, 1H), 2.77 (d, *J* = 15.6 Hz, 0.6H), 2.45 (s, 3H), 2.31 (s, 1.8H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.5, 203.6, 168.4, 168.0, 162.6, 140.7, 137.2, 136.7, 132.4, 130.7, 129.8, 127.9, 127.6, 126.5, 125.2, 124.3, 123.9, 119.6, 119.3, 118.8, 118.5, 118.1, 114.8, 57.2, 56.8, 43.2, 42.4, 35.6, 33.0, 24.6, 23.5; HRMS (ESI-TOF) calcd for $C_{18}H_{18}NO_3$ $[M+H]^+$ (296.1287), found 296.1273.

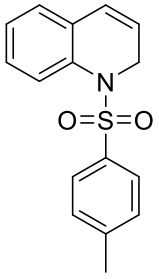
(*E*)-4-methyl-*N*-(2-(prop-1-en-1-yl)phenyl)benzenesulfonamide (8)



8

The product **8**, which is a known compound,[6] was obtained as a light-yellow oil after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 10.3 mg (12%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59-7.62 (m, 2H), 7.34 (dd, J = 8.0 Hz, 1.2 Hz, 1H), 7.21-7.25 (m, 3H), 7.08-7.19 (m, 2H), 6.41 (br s, 1H), 6.10 (dq, J = 15.6 Hz, 1.6 Hz, 1H), 5.90 (dq, J = 15.6 Hz, 6.4 Hz, 1H), 2.39 (s, 3H), 1.77 (dd, J = 6.4 Hz, 1.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.9, 136.6, 132.9, 132.6, 130.8, 129.6, 127.9, 127.31, 127.27, 126.3, 125.3, 124.5, 21.6, 18.8.

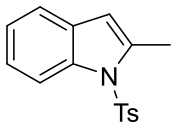
1-tosyl-1,2-dihydroquinoline (9)



9

The product **9**, which is a known compound,[7] was obtained as a light-yellow solid after silica gel chromatography (*n*-hexane/EtOAc = 80:1), 6.9 mg (8%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, J = 8.0 Hz, 1H), 7.26-7.30 (m, 3H), 7.16-7.20 (m, 1H), 7.07 (d, J = 8.0 Hz, 2H), 6.93 (d, J = 7.6 Hz, 1H), 6.02 (d, J = 9.6 Hz, 1H), 5.58 (dt, J = 9.6 Hz, 4.0 Hz, 1H), 4.44 (dd, J = 4.0 Hz, 1.2 Hz, 2H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.5, 136.4, 135.0, 129.6, 129.1, 128.1, 127.4, 127.0, 126.7, 126.5, 126.0, 124.0, 45.4, 21.6.

2-Methyl-1-tosyl-1*H*-indole (10)



10

The product **10**, which is a known compound,[5] was obtained as a pale pink oil after silica gel chromatography (*n*-hexane/EtOAc = 20:1), 400 mg (20%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, J = 8.4 Hz, 1H), 7.53 (d, J = 8.4 Hz, 2H), 7.27 (d, J = 7.6 Hz, 1H), 7.05-7.15 (m, 2H), 7.03 (d, J = 8.4 Hz, 2H), 6.20 (s, 1H), 2.48 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.7, 137.3, 137.0, 136.2, 129.8, 129.7, 126.3, 123.7, 123.4, 120.0, 114.4, 109.6, 21.5, 15.7.

4. X-ray Crystallographic Data

(1) X-ray of 3aa

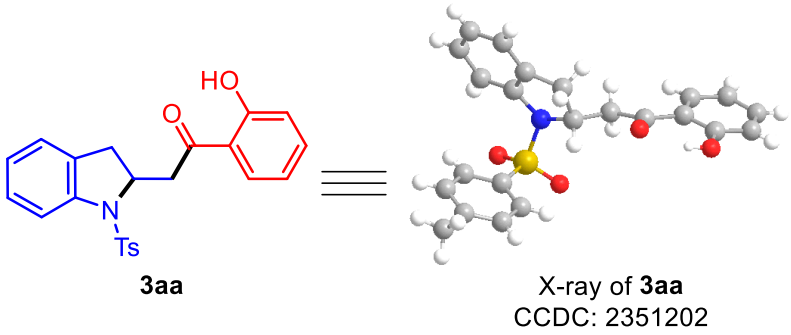
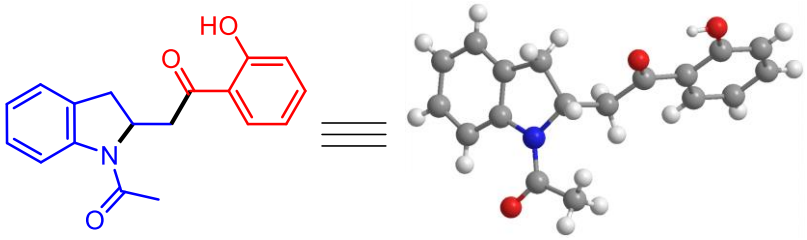


Table 1 Crystal data and structure refinement for lsc-20230706.

Identification code	lsc-20230706
Empirical formula	$C_{23}H_{21}NO_4S$
Formula weight	407.47
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	18.1956(5)
b/Å	12.5801(5)
c/Å	8.9178(2)
α/°	90
β/°	95.606(2)
γ/°	90
Volume/Å^3	2031.54(11)
Z	4
ρ_{calc}mg/mm^3	1.332
μ/mm^{-1}	1.662
F(000)	856.0
Crystal size/mm^3	0.15 × 0.06 ×0.05
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/ °	4.88 to 134.156

Index ranges	$-21 \leq h \leq 21$, $-15 \leq k \leq 14$, $-7 \leq l \leq 10$
Reflections collected	18638
Independent reflections	3623 [R_{int} = 0.0746, R_{sigma} = 0.0606]
Data/restraints/parameters	3623/0/265
Goodness-of-fit on F^2	1.030
Final R indexes [I>=2σ (I)]	R_1 = 0.0489, wR_2 = 0.1254
Final R indexes [all data]	R_1 = 0.0743, wR_2 = 0.1407
Largest diff. peak/hole / e $Å^{-3}$	0.18/-0.23

(2) X-ray of 3ah



3ah

X-ray of **3ah**
CCDC: 2351203

Table 2 Crystal data and structure refinement for 11.

Identification code	11
Empirical formula	$C_{18}H_{17}NO_3$
Formula weight	295.32
Temperature/K	293.00
Crystal system	triclinic
Space group	P-1
a/Å	8.7829(7)
b/Å	9.1621(10)
c/Å	9.6636(11)
α/°	98.556(5)
β/°	96.030(6)
γ/°	101.052(6)
Volume/Å^3	747.53(13)
Z	2
ρ_{calc}mg/mm^3	1.312
μ/mm^{-1}	0.090
F(000)	312.0
Crystal size/mm^3	0.2 × 0.2 × 0.12
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/ °	4.304 to 52.784
Index ranges	-10 ≤ h ≤ 10, -11 ≤ k ≤ 11, -12 ≤ l ≤ 12
Reflections collected	13441

Independent reflections	3027 [R_{int} = 0.0404, R_{sigma} = 0.0345]
Data/restraints/parameters	3027/0/201
Goodness-of-fit on F^2	1.090
Final R indexes [I>=2σ (I)]	R_1 = 0.0423, wR_2 = 0.1192
Final R indexes [all data]	R_1 = 0.0514, wR_2 = 0.1266
Largest diff. peak/hole / e $Å^{-3}$	0.17/-0.16

5. References

[1] (a) Sheikh Ismail, S. N. F. B.; Yang, B.; Zhao, Y. *Org. Lett*. **2021**, *23*, 2884. (b) Wang, C.; Li, Y.; Wu, Y.; Wang, Q.; Shi, W.; Yuan, C.; Zhou, L.; Xiao, Y.; Guo, H. *Org. Lett.* **2018**, *20*, 2880.

[2] Li, C.; Xiang, X.; Zhang, X.; He, Z.-L.; Gu, S.-X.; Dong, X.-Q. *Org. Lett.* **2023**, *25*, 1172.

[3] Hao, J.; Xu, Y.; Xu, Z.; Zhang, Z.; Yang, W. *Org. Lett*. **2018**, *20*, 7888.

[4] (a) You, G.; Chang, Z.-X.; Yan, J.; Xia, C.; Li, F.-R.; Li, H.-S. *Org. Chem. Front*. **2021**, *8*, 39; (b) Tian, K.-Q.; Zhang, S.-J.; Zhao, J.; Duan, G.-Y.; Wang, Q.-L.; Cui, G.-H.; Guo, R.; Li, H.-S. *ACS Catal*. **2024**, *14*, 1505.

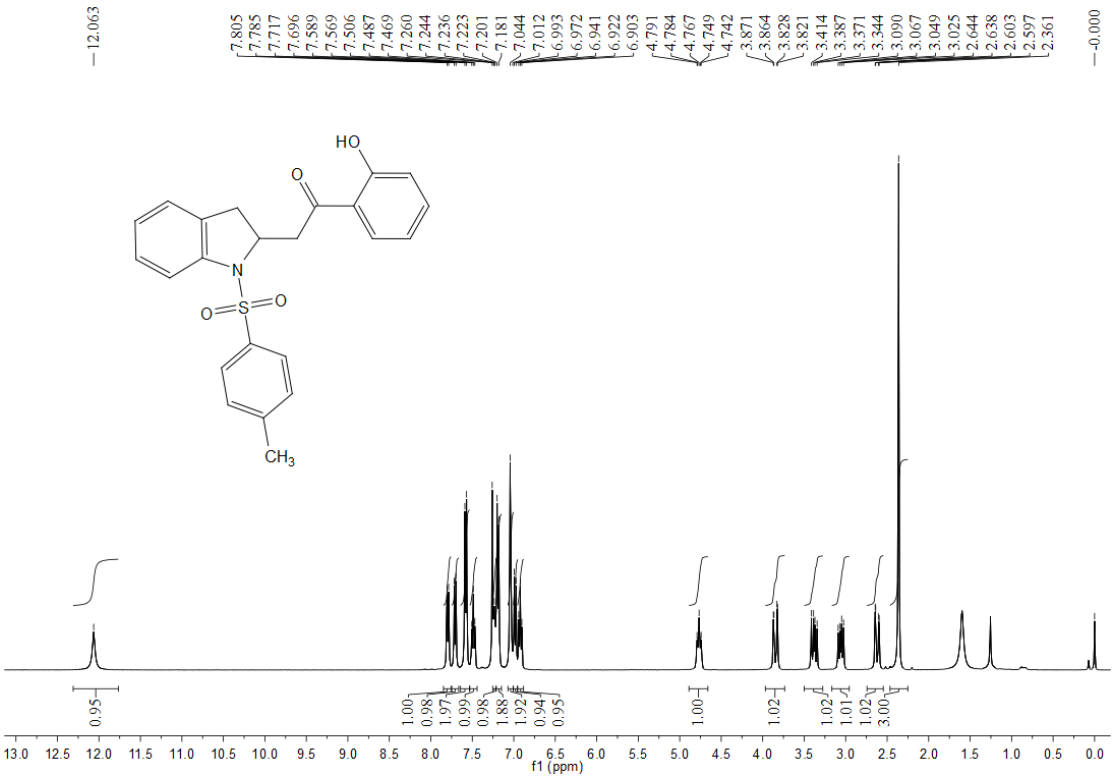
[5] Kuwano, R.; Kashiwabara, M.; Sato, K.; Ito, T.; Kaneda, K.; Ito, Y. *Tetrahedron: Asymmetry* **2006**, *17*, 521.

[6] Ortgies, S.; Breder A. *Org. Lett.* **2015**, *17*, 2748.

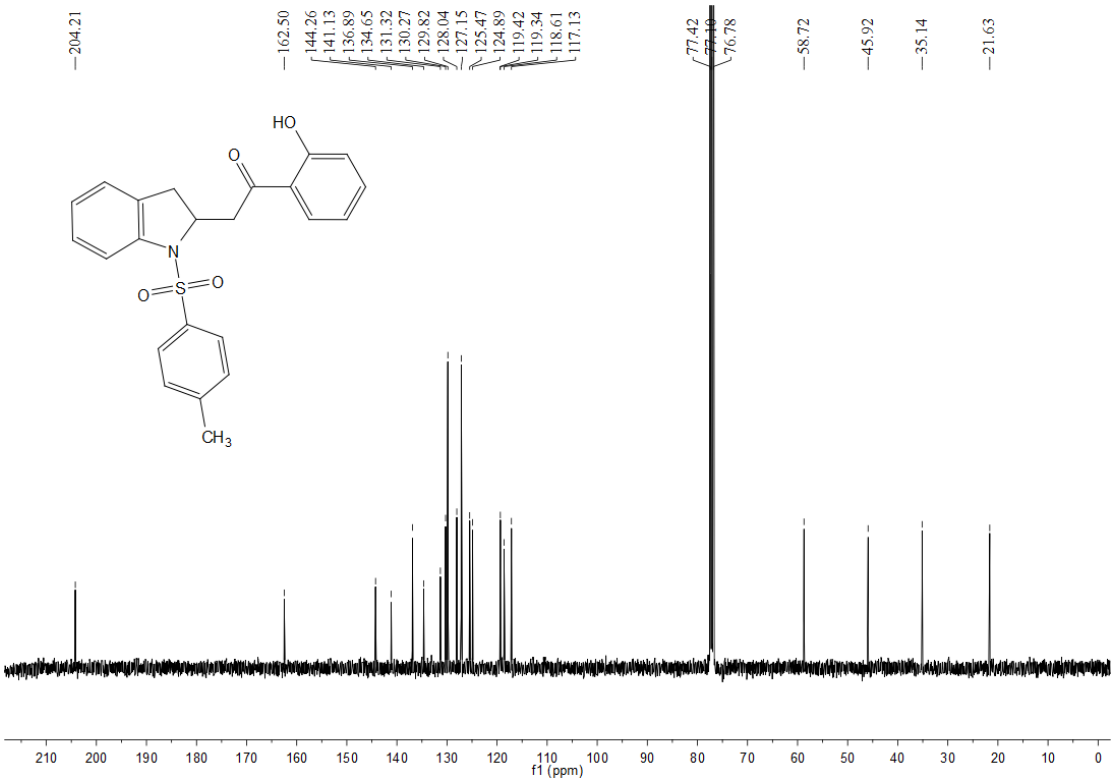
[7] Tiwari, V. K.; Pawar, G. G.; Das, R.; Adhikary, A.; Kapur, M. *Org. Lett*. **2013**, *15*, 3310.

6. Copies of NMR Spectra

1-(2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3aa)

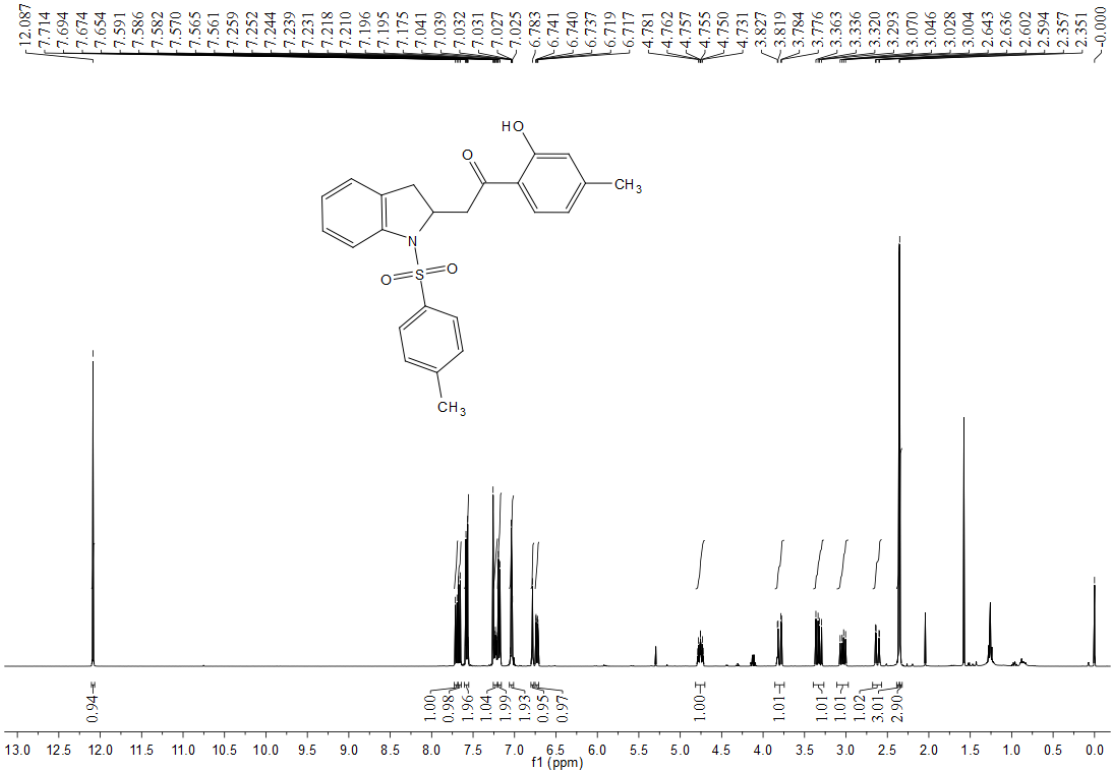


^{1}H NMR (400 MHz, $CDCl_3$) of **3aa**

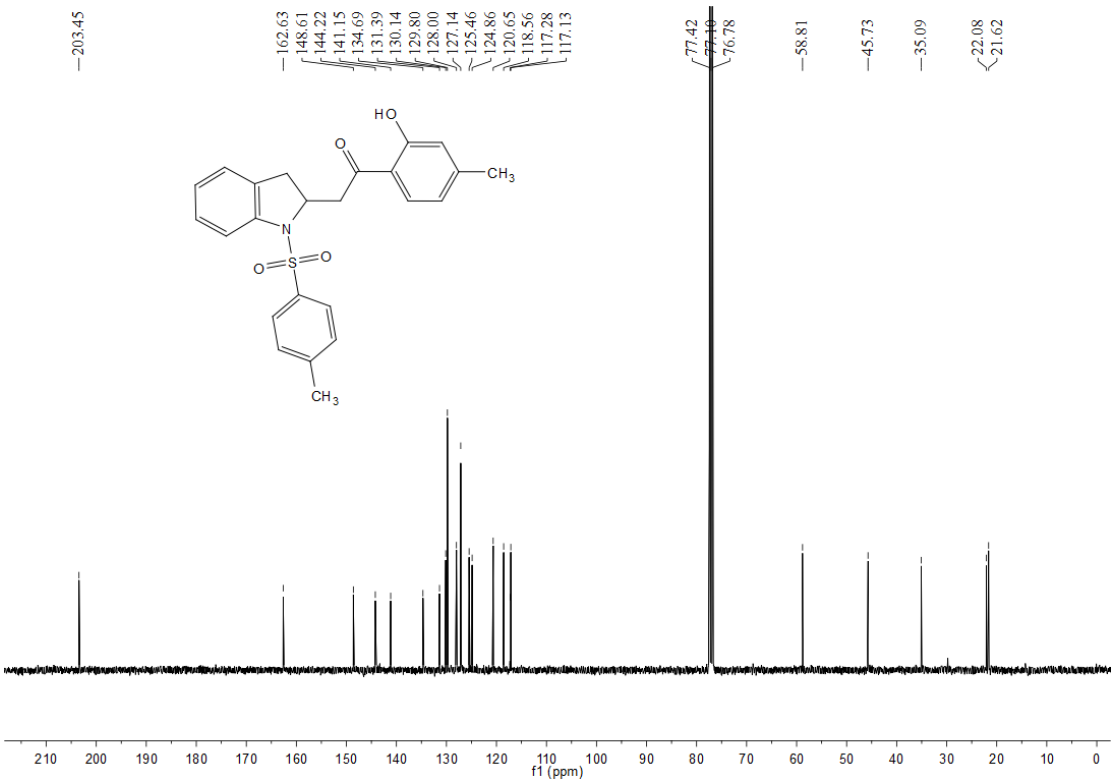


^{13}C NMR (100 MHz, $CDCl_3$) of **3aa**

1-(2-hydroxy-4-methylphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ba)

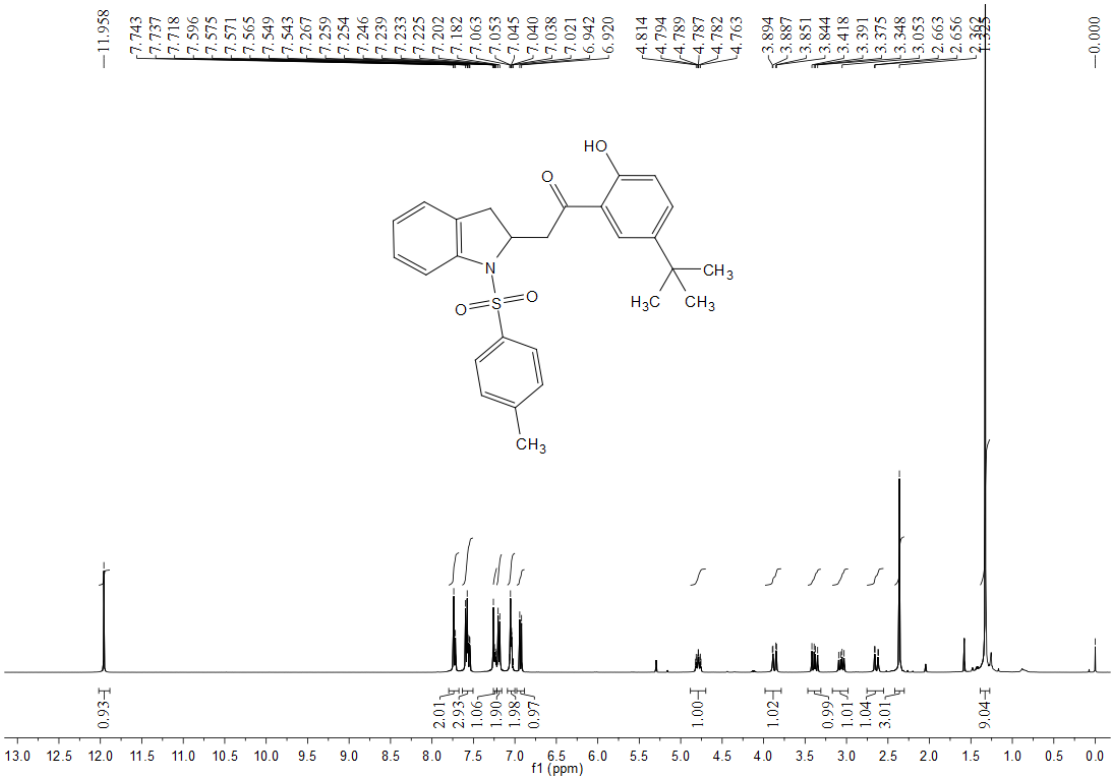


^{1}H NMR (400 MHz, $CDCl_3$) of **3ba**

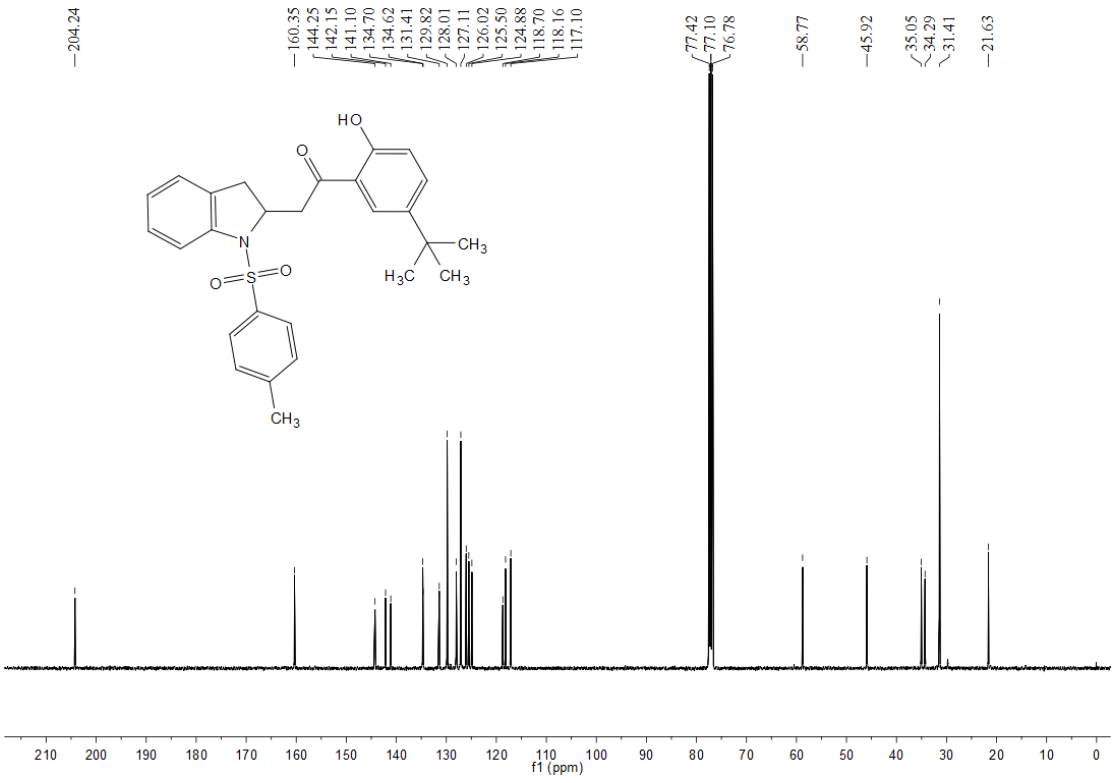


^{13}C NMR (100 MHz, $CDCl_3$) of **3ba**

1-(5-(*tert*-butyl)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ca)

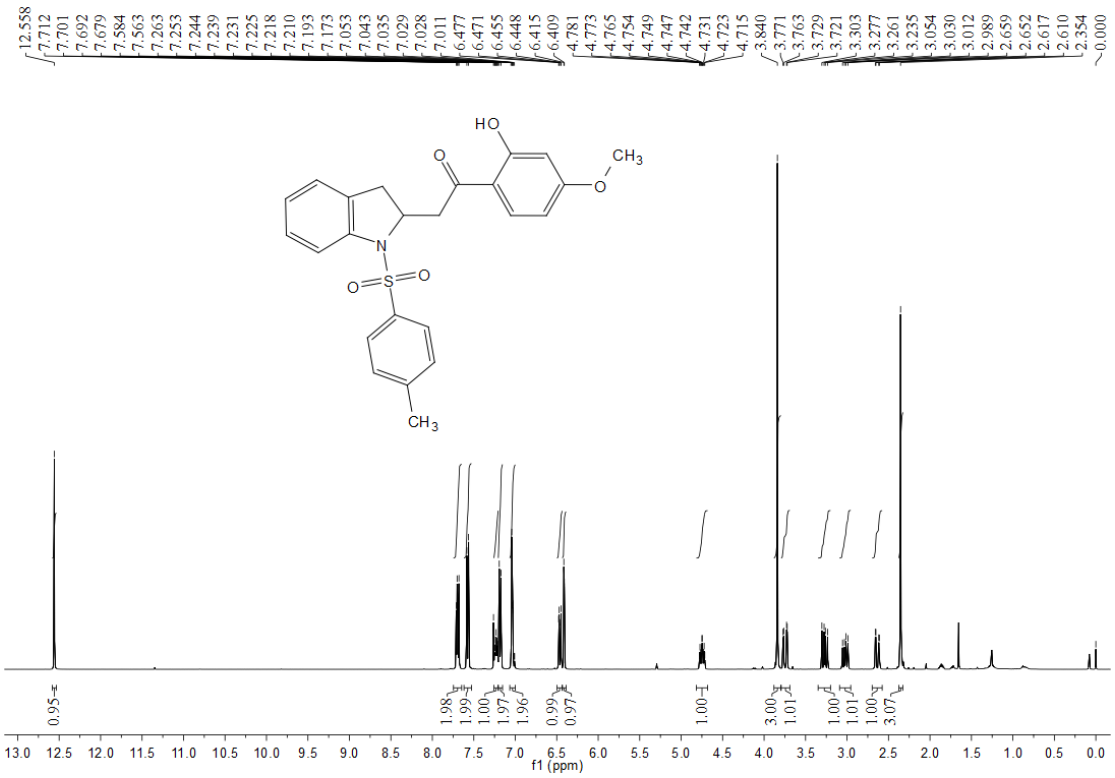


^{1}H NMR (400 MHz, $CDCl_3$) of **3ca**

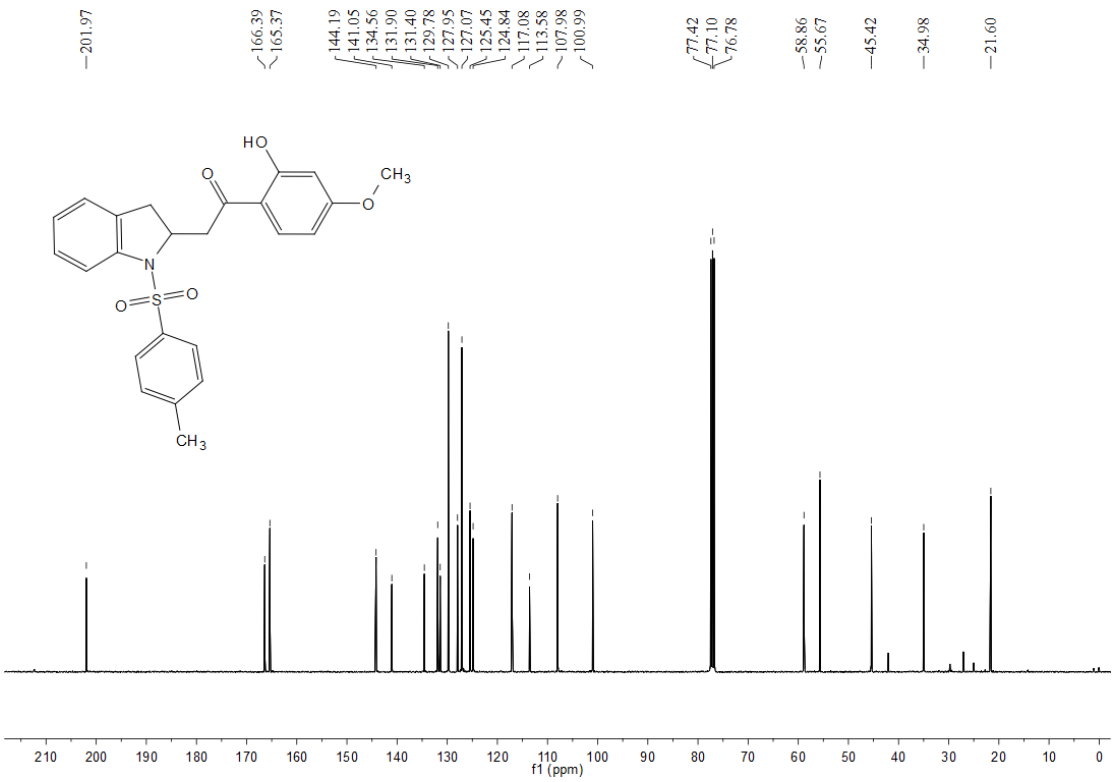


^{13}C NMR (100 MHz, $CDCl_3$) of **3ca**

1-(2-hydroxy-4-methoxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3da)

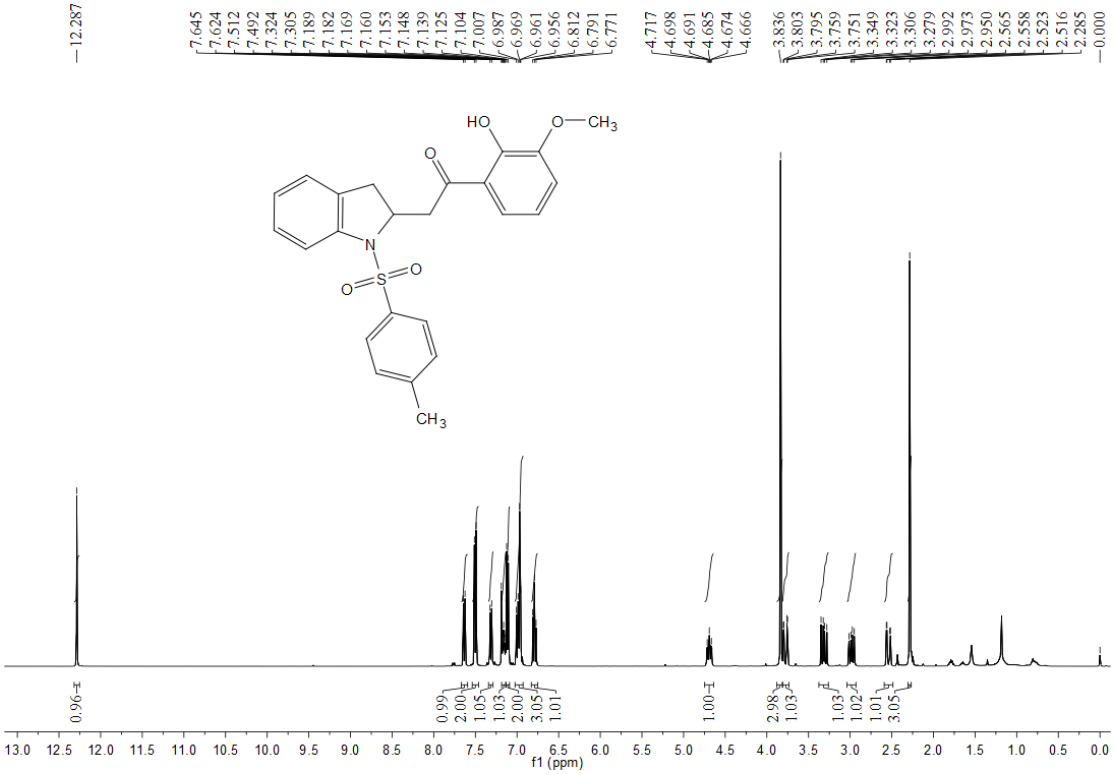


^{1}H NMR (400 MHz, $CDCl_3$) of **3da**

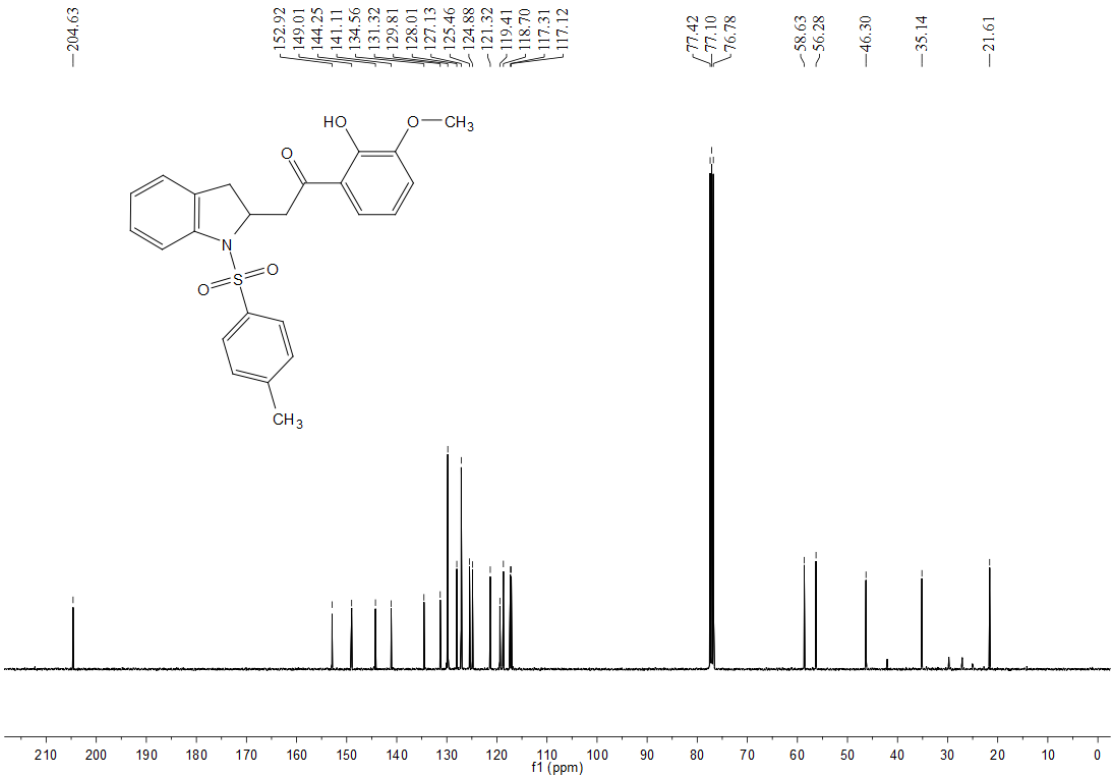


^{13}C NMR (100 MHz, $CDCl_3$) of **3da**

1-(2-hydroxy-3-methoxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ea)

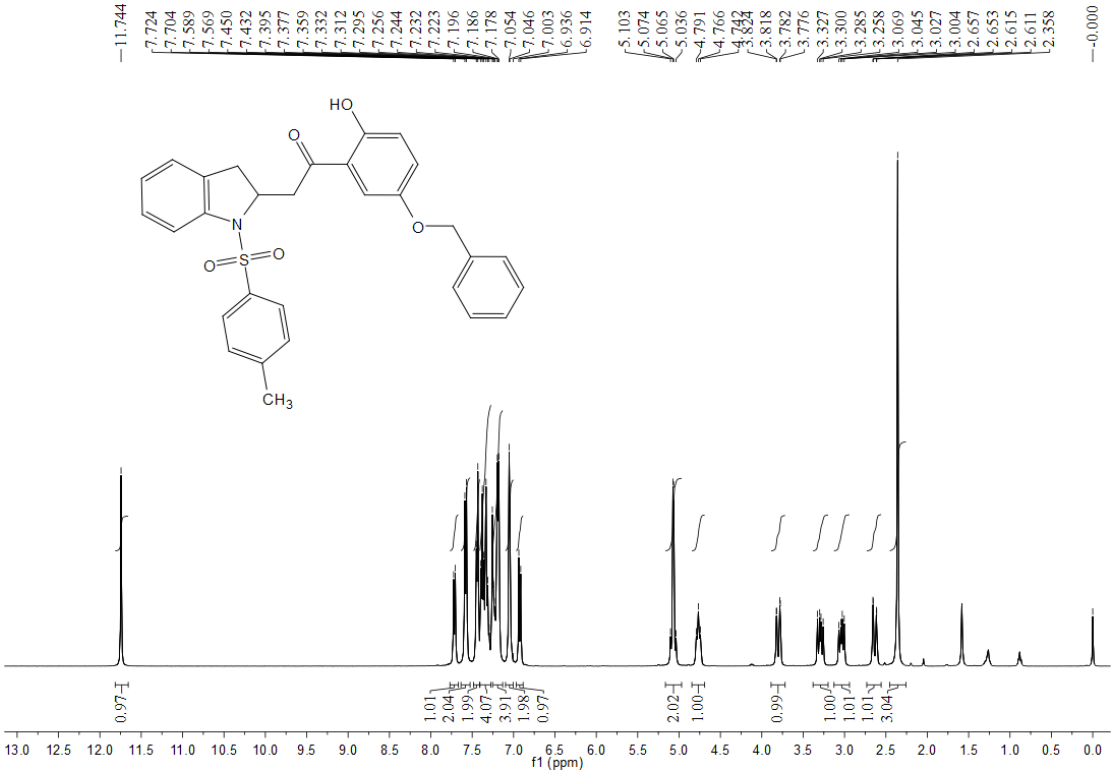


^{1}H NMR (400 MHz, $CDCl_3$) of **3ea**

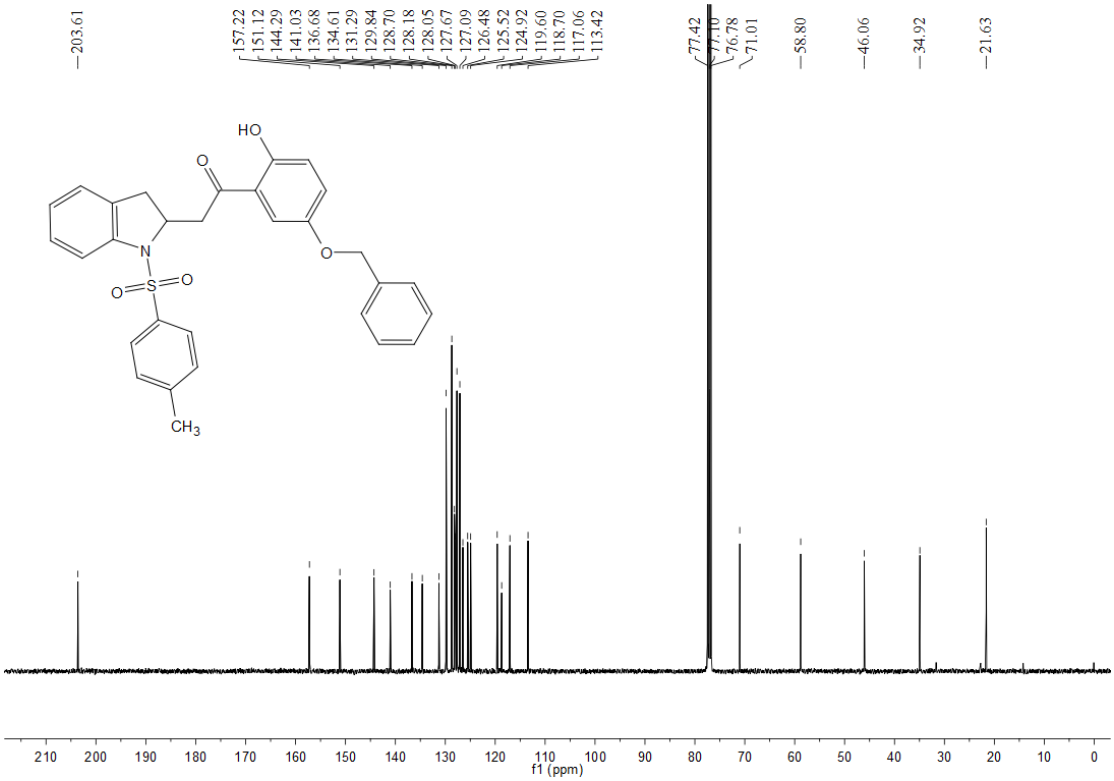


^{13}C NMR (100 MHz, $CDCl_3$) of **3ea**

1-(5-(benzyloxy)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3fa)

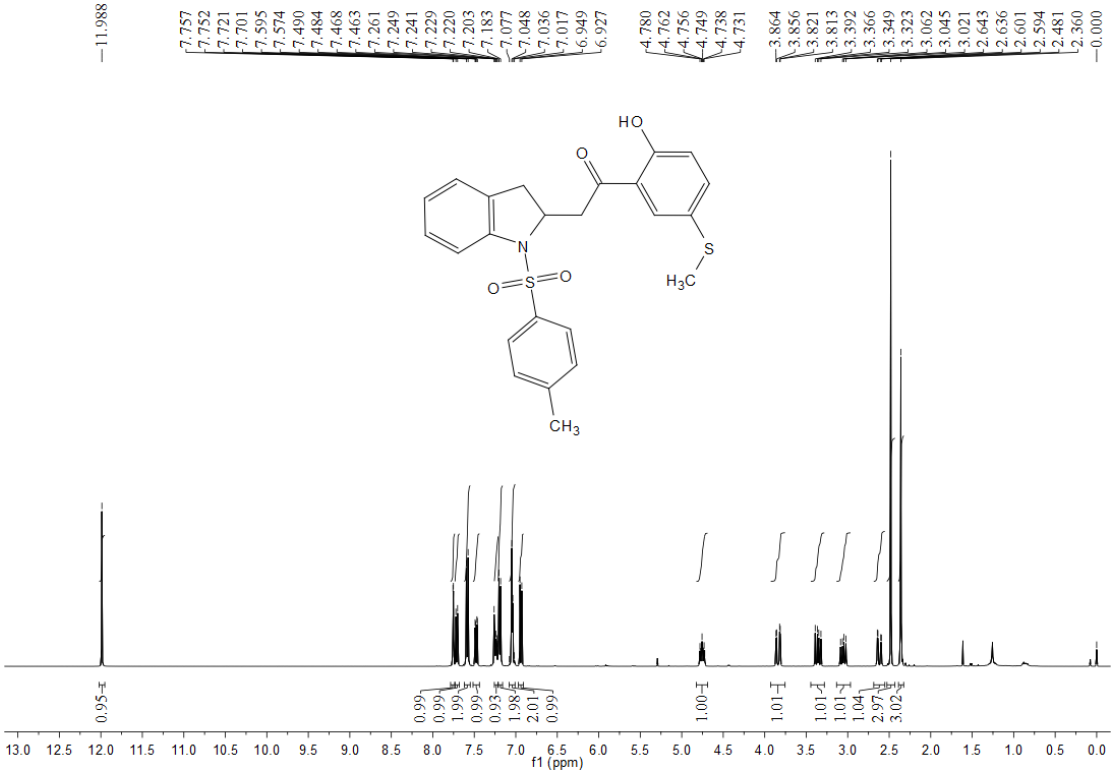


^{1}H NMR (400 MHz, $CDCl_3$) of **3fa**

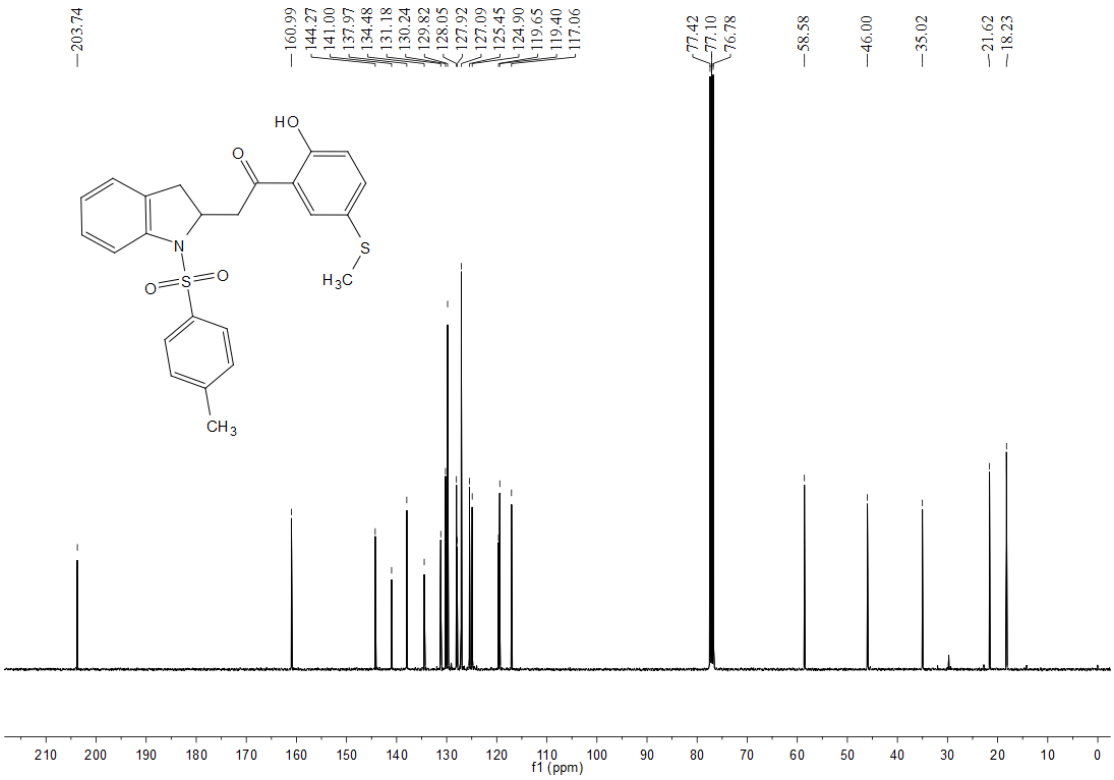


^{13}C NMR (100 MHz, $CDCl_3$) of **3fa**

1-(2-hydroxy-5-(methylthio)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ga)

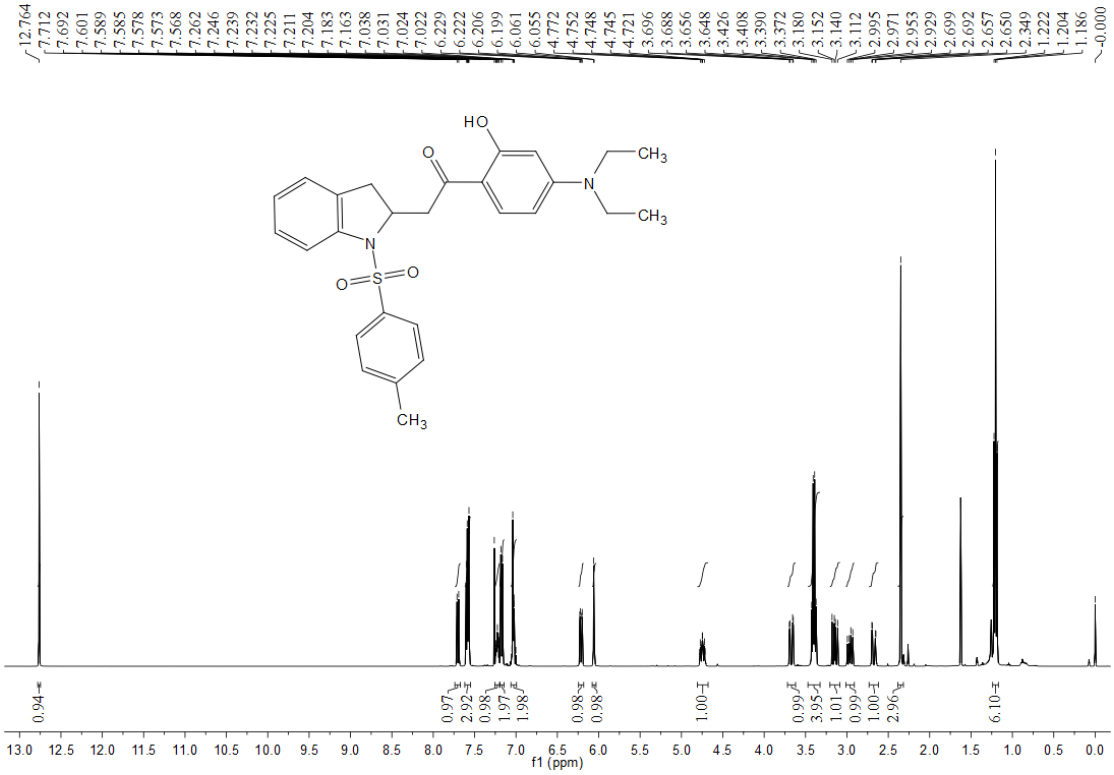


^{1}H NMR (400 MHz, $CDCl_3$) of **3ga**

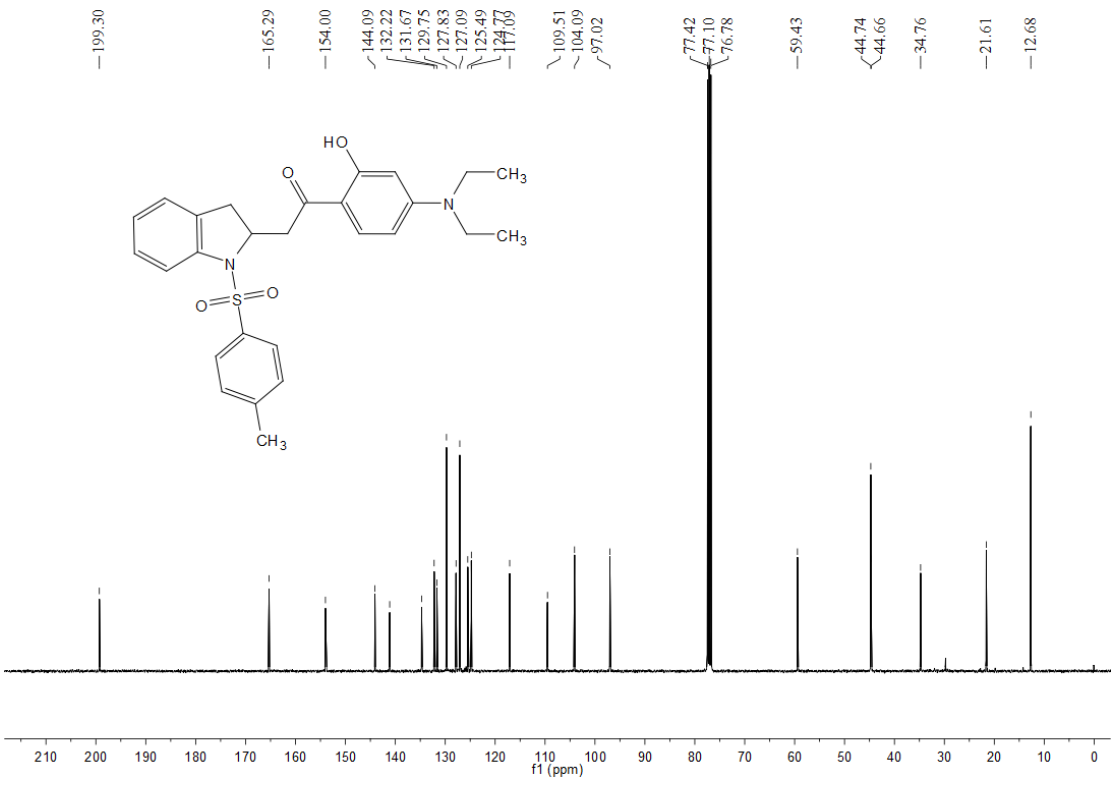


^{13}C NMR (100 MHz, $CDCl_3$) of **3ga**

1-(4-(diethylamino)-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ha)

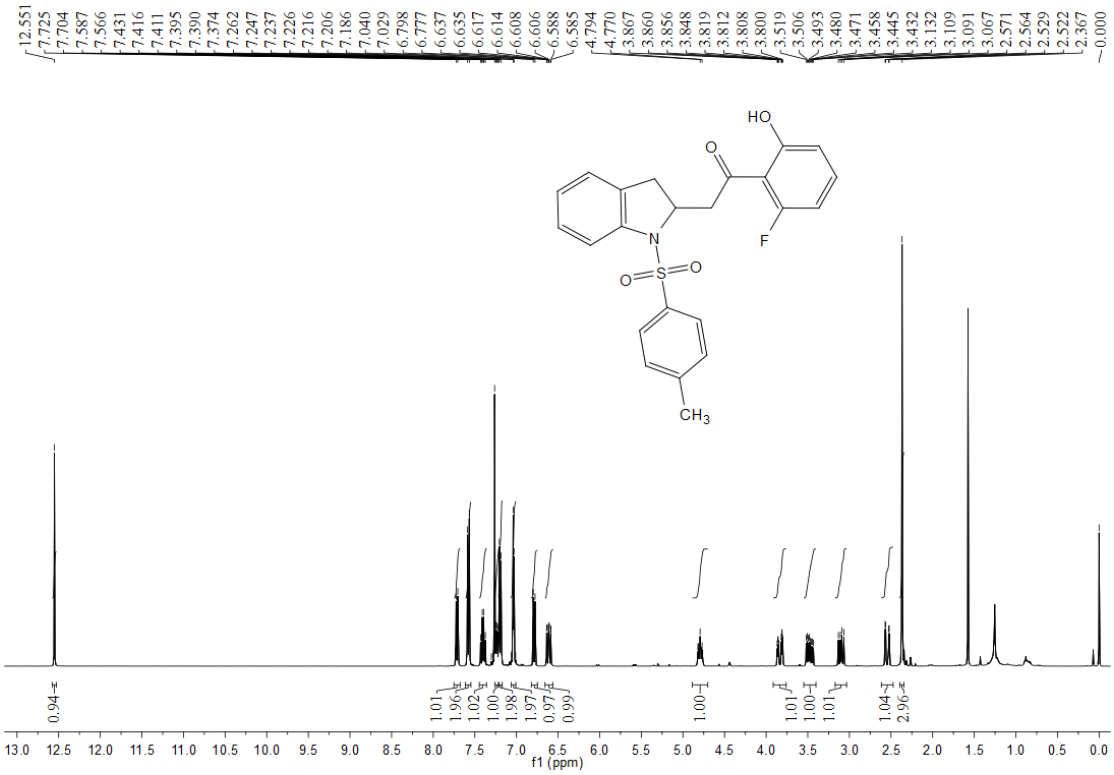


^{1}H NMR (400 MHz, $CDCl_3$) of **3ha**

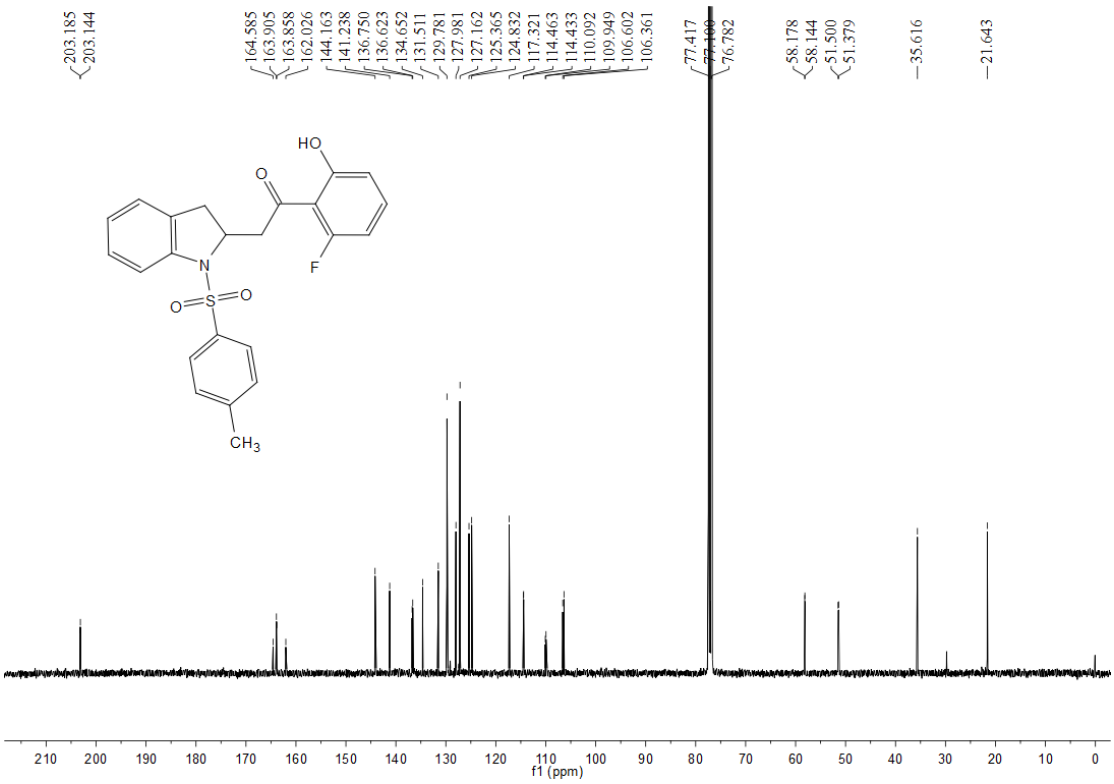


^{13}C NMR (100 MHz, $CDCl_3$) of **3ha**

1-(2-fluoro-6-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ia)

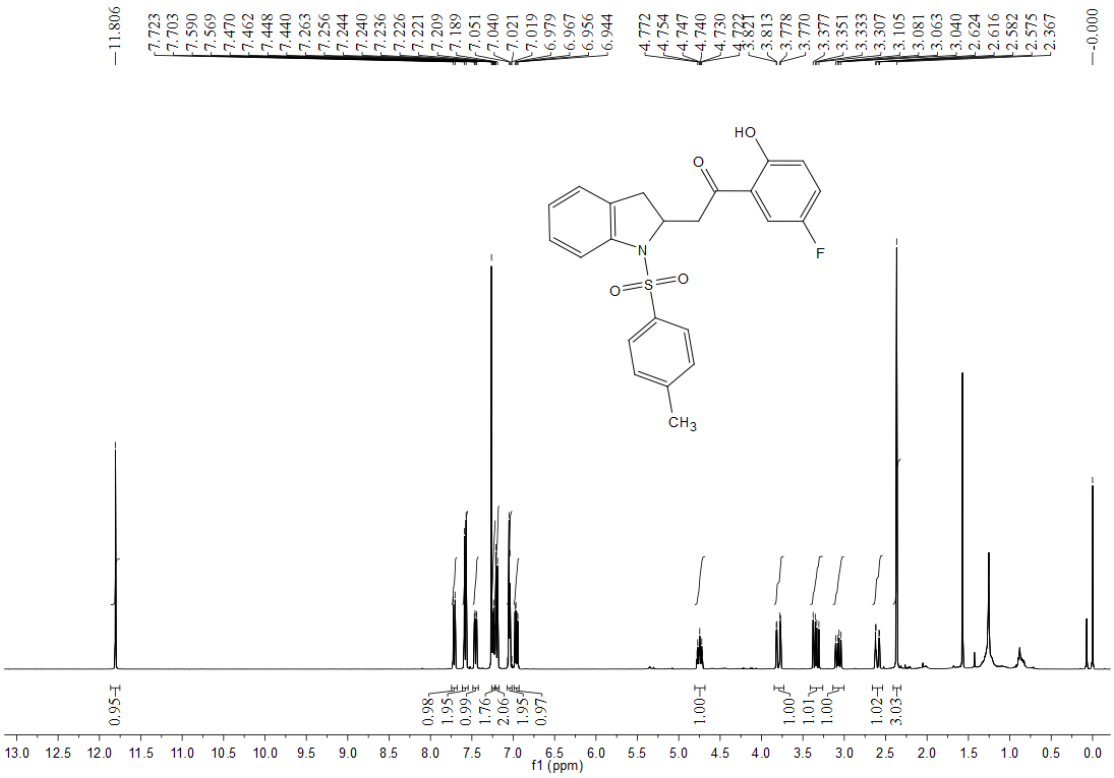


^{1}H NMR (400 MHz, $CDCl_3$) of **3ia**

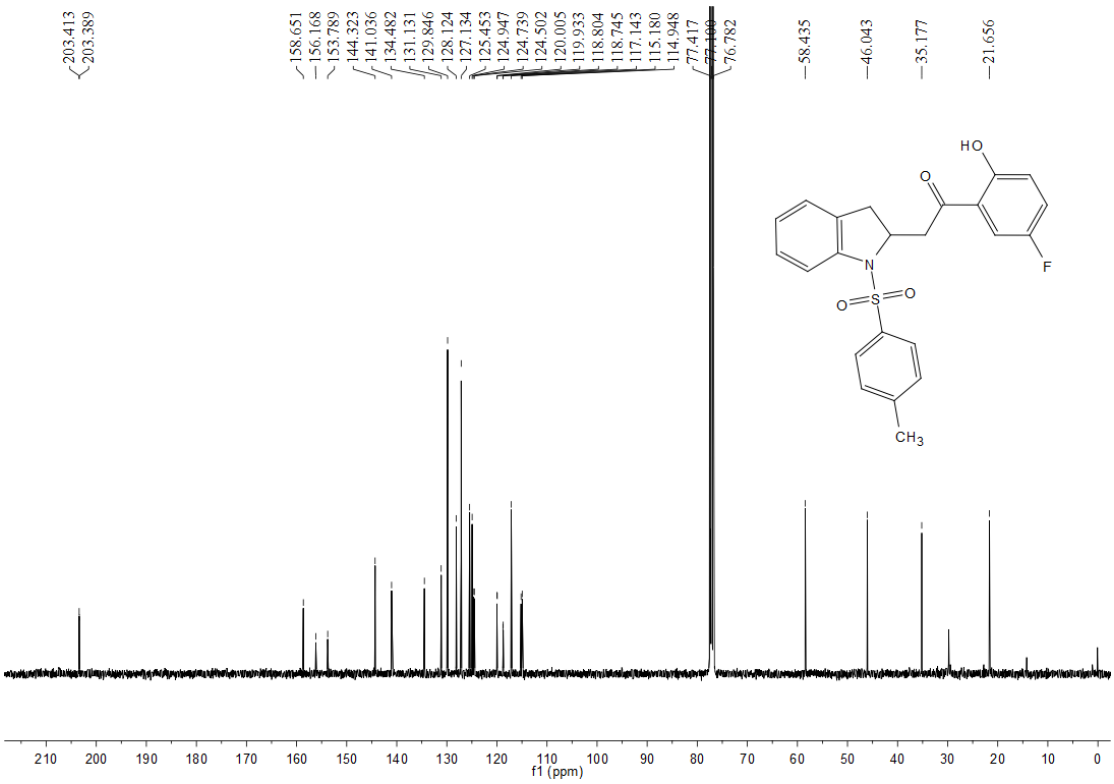


^{13}C NMR (100 MHz, $CDCl_3$) of **3ia**

1-(5-fluoro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ja)

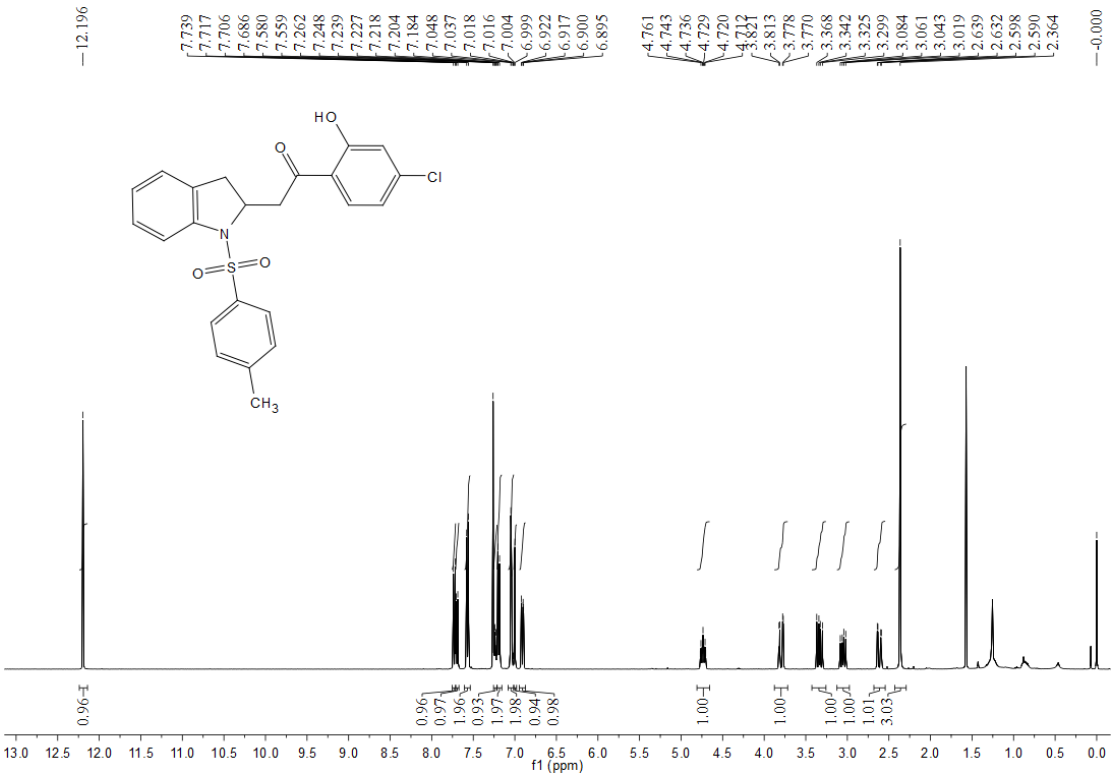


^{1}H NMR (400 MHz, $CDCl_3$) of **3ja**

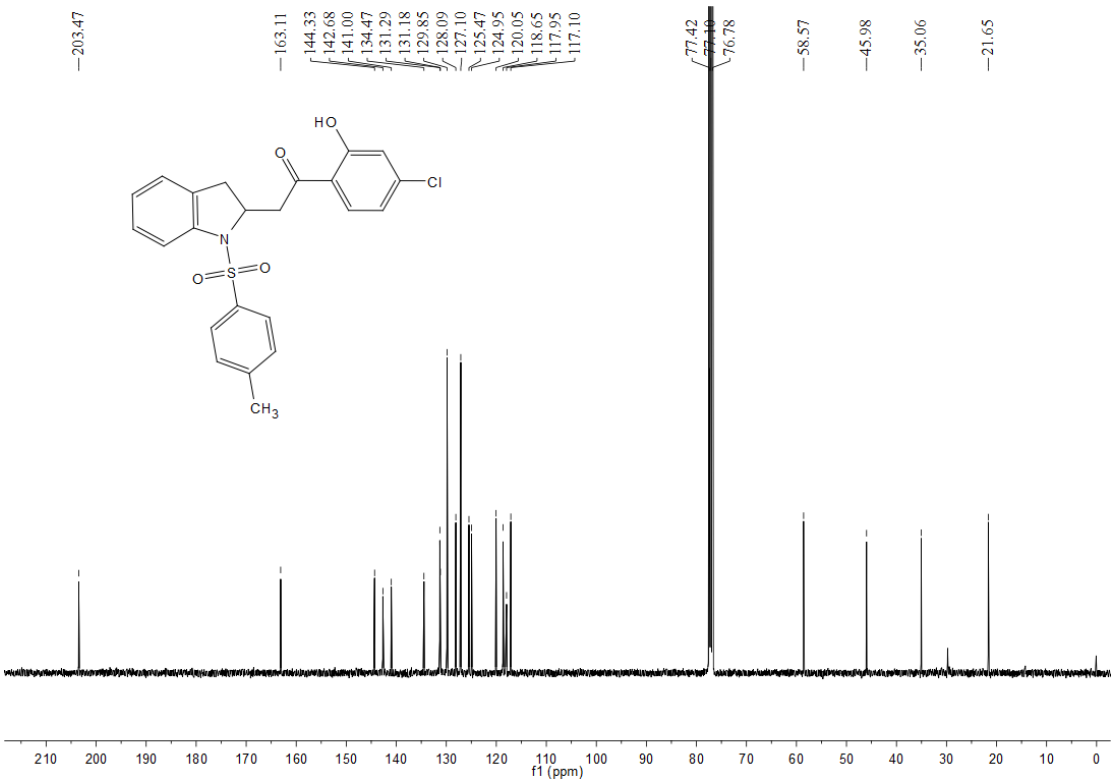


^{13}C NMR (100 MHz, $CDCl_3$) of **3ja**

1-(4-chloro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ka)

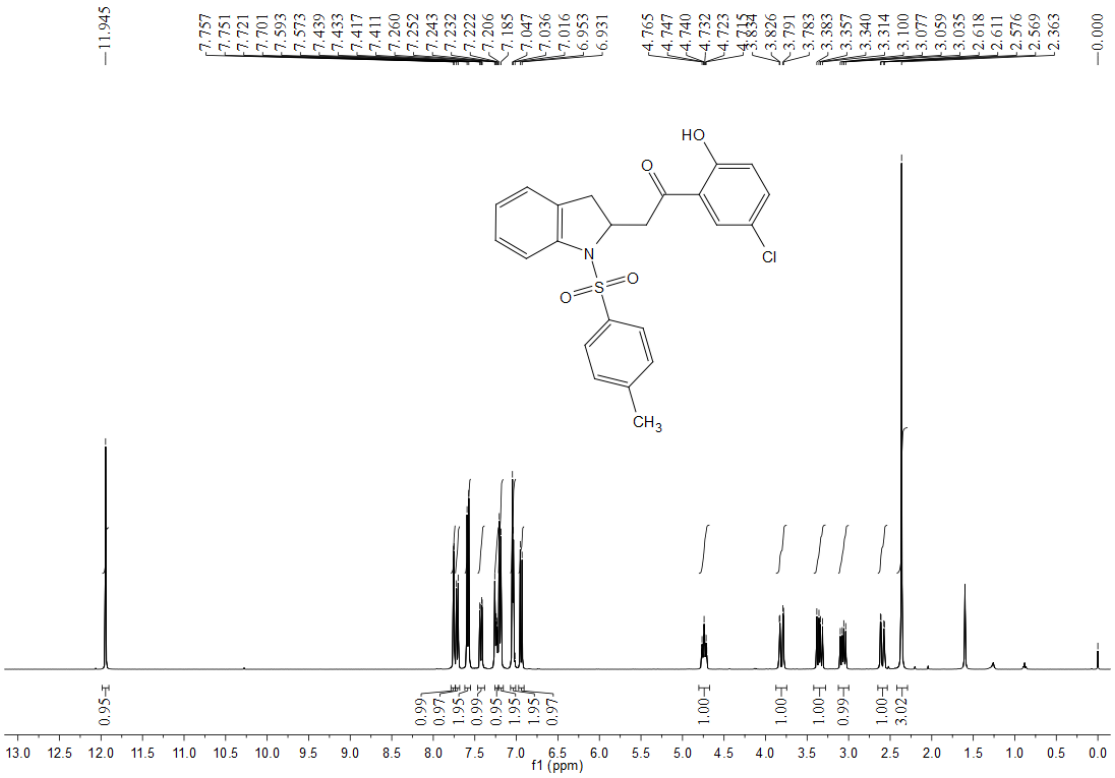


^{1}H NMR (400 MHz, $CDCl_3$) of **3ka**

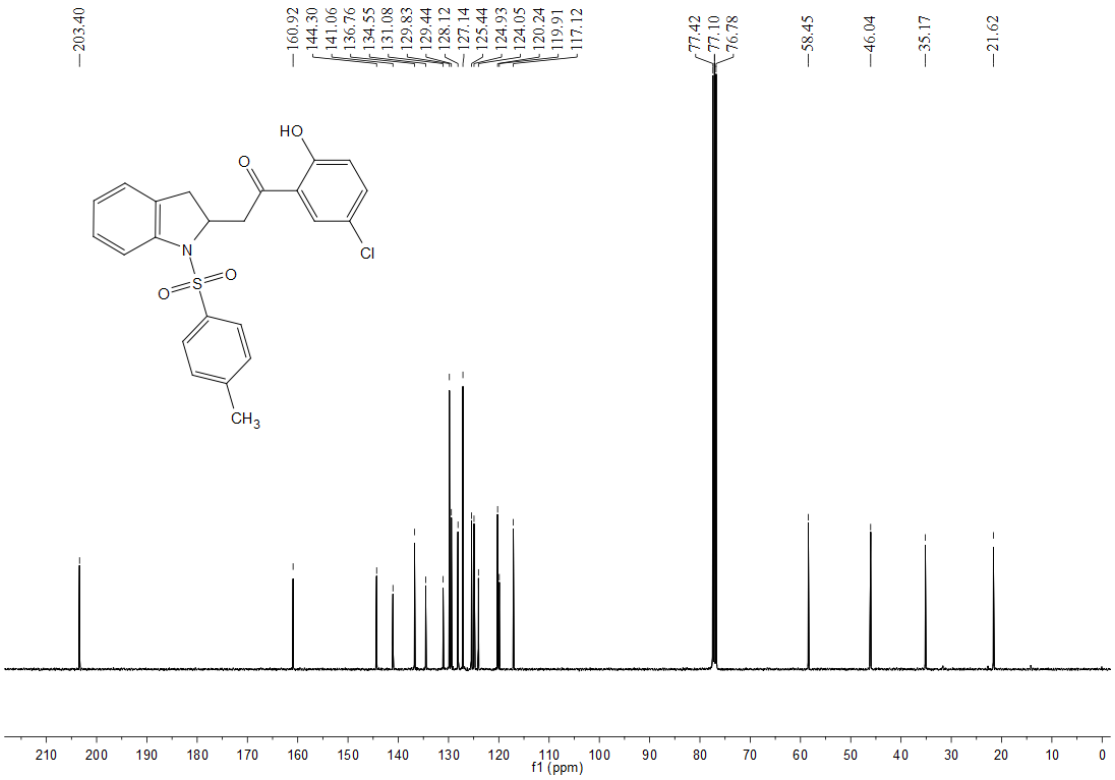


^{13}C NMR (100 MHz, $CDCl_3$) of **3ka**

1-(5-chloro-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3la)

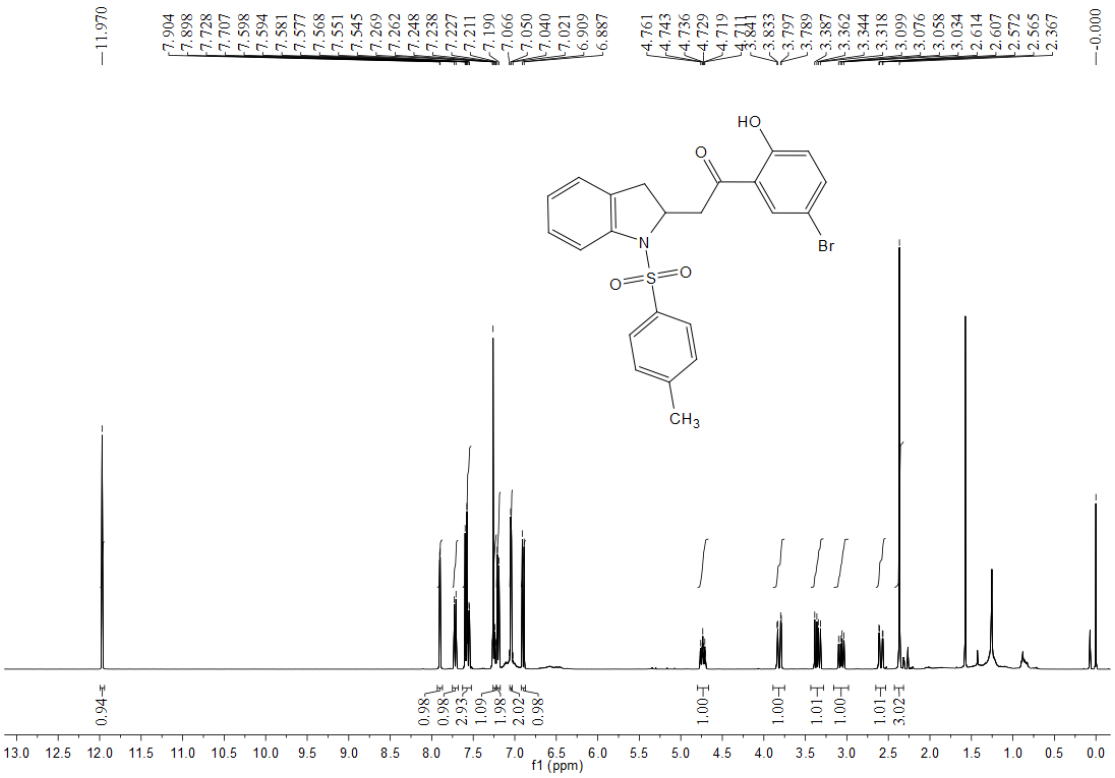


^{1}H NMR (400 MHz, $CDCl_3$) of **3la**

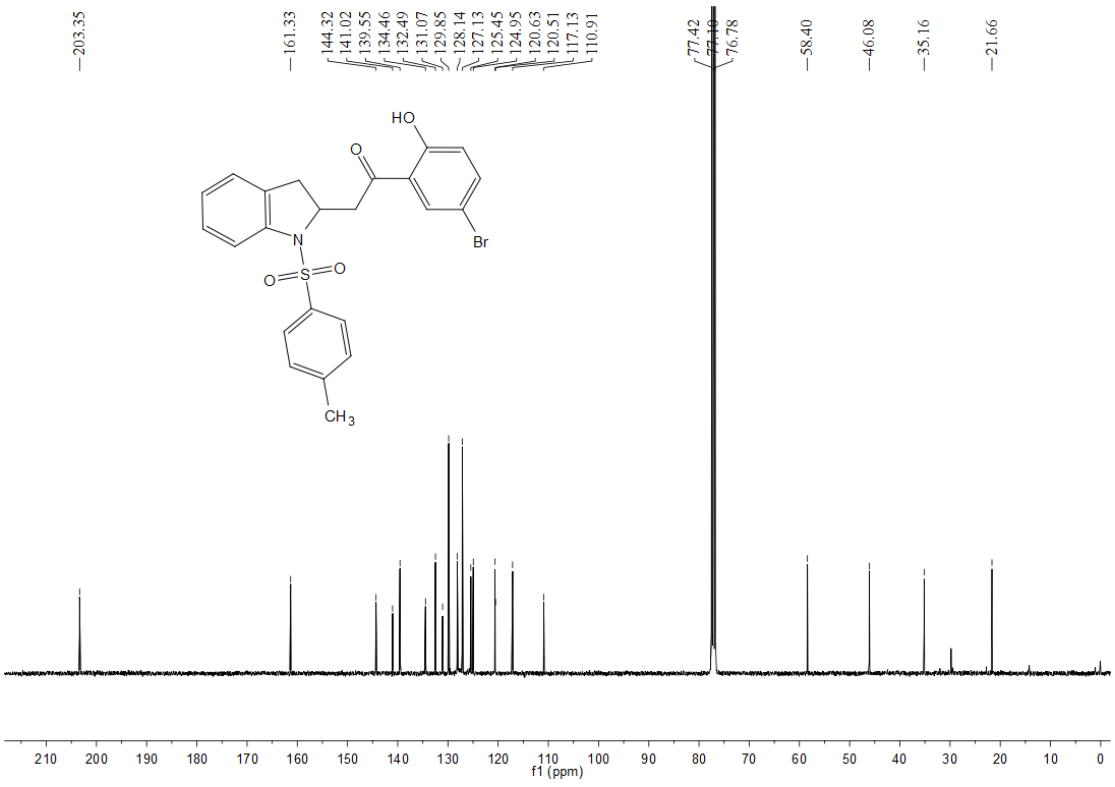


^{13}C NMR (100 MHz, $CDCl_3$) of **3la**

1-(5-bromo-2-hydroxyphenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3ma)

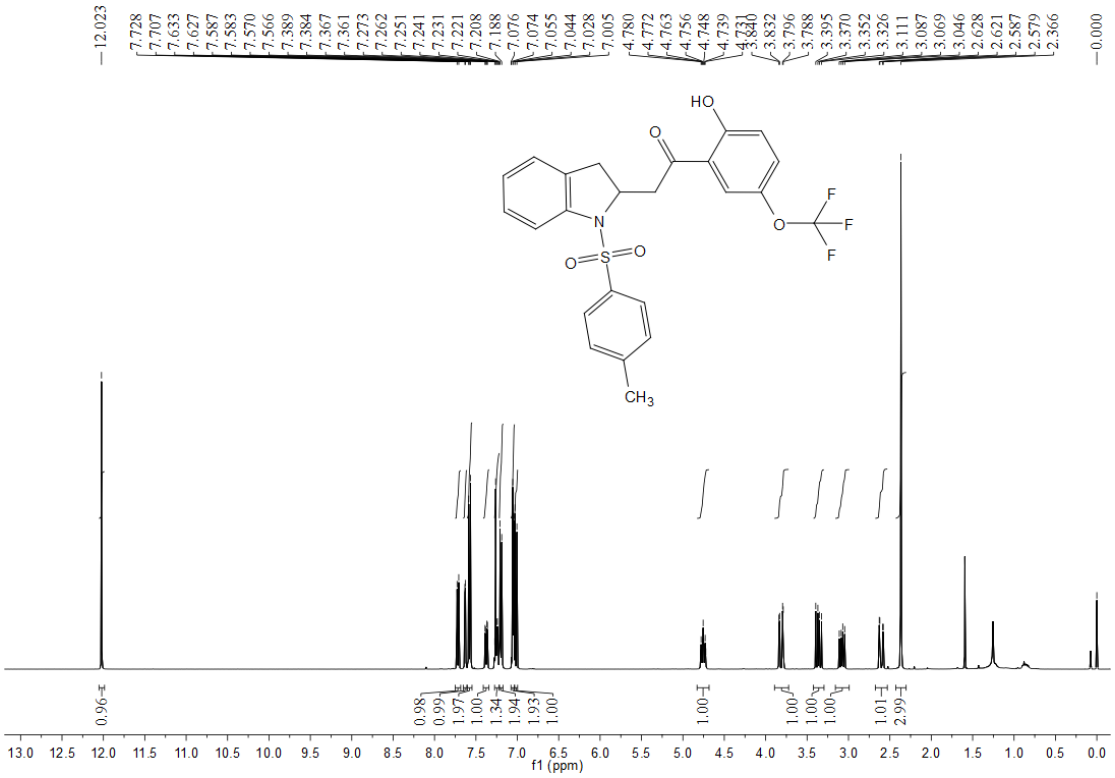


^{1}H NMR (400 MHz, $CDCl_3$) of **3ma**

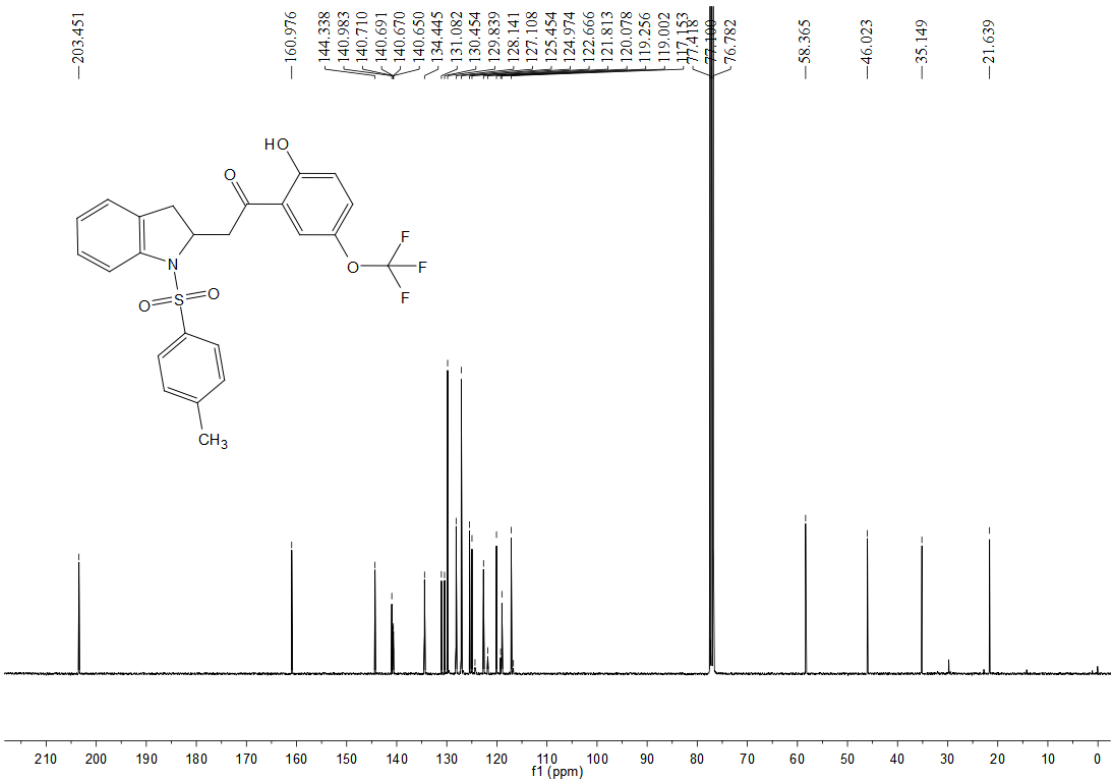


^{13}C NMR (100 MHz, $CDCl_3$) of **3ma**

1-(2-hydroxy-5-(trifluoromethoxy)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3na)

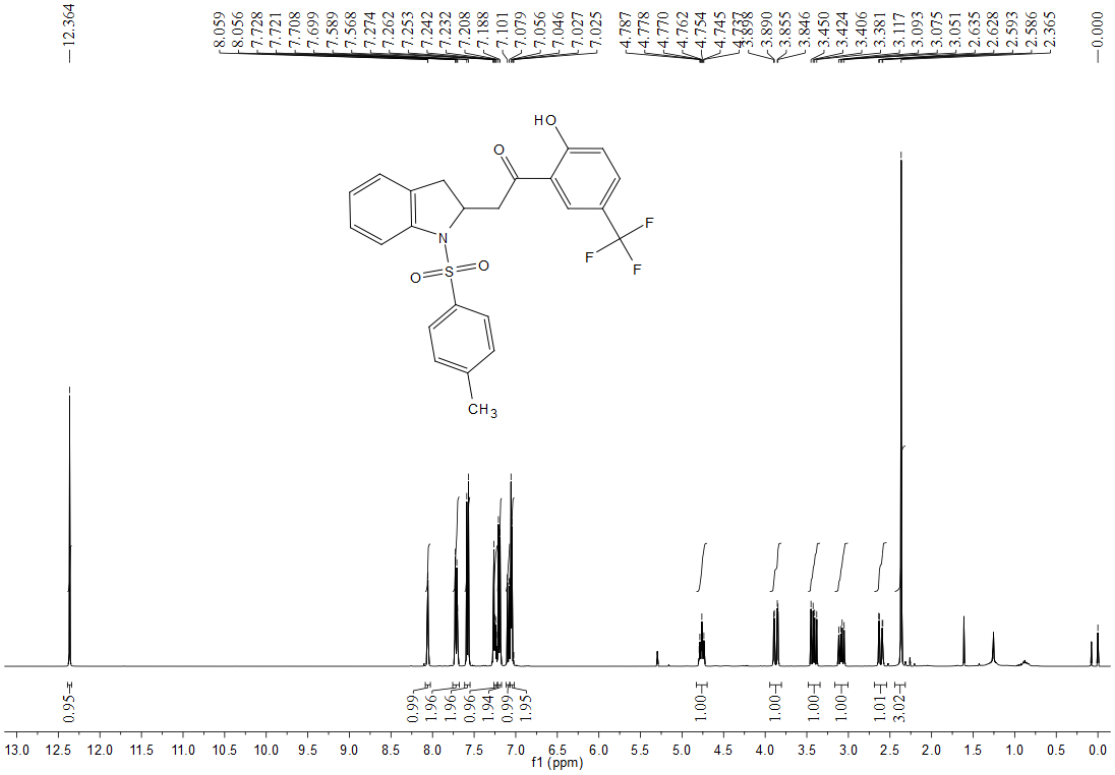


^{1}H NMR (400 MHz, $CDCl_3$) of **3na**

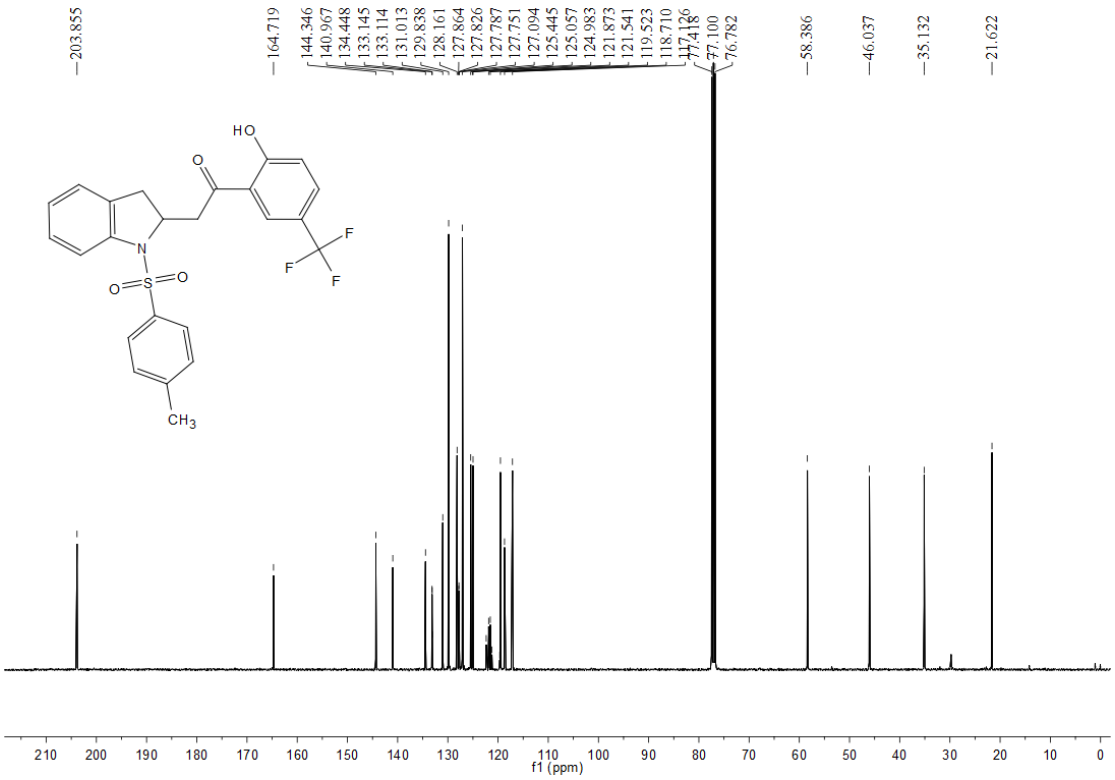


^{13}C NMR (100 MHz, $CDCl_3$) of **3na**

1-(2-hydroxy-5-(trifluoromethyl)phenyl)-2-(1-tosylindolin-2-yl)ethan-1-one (3oa)

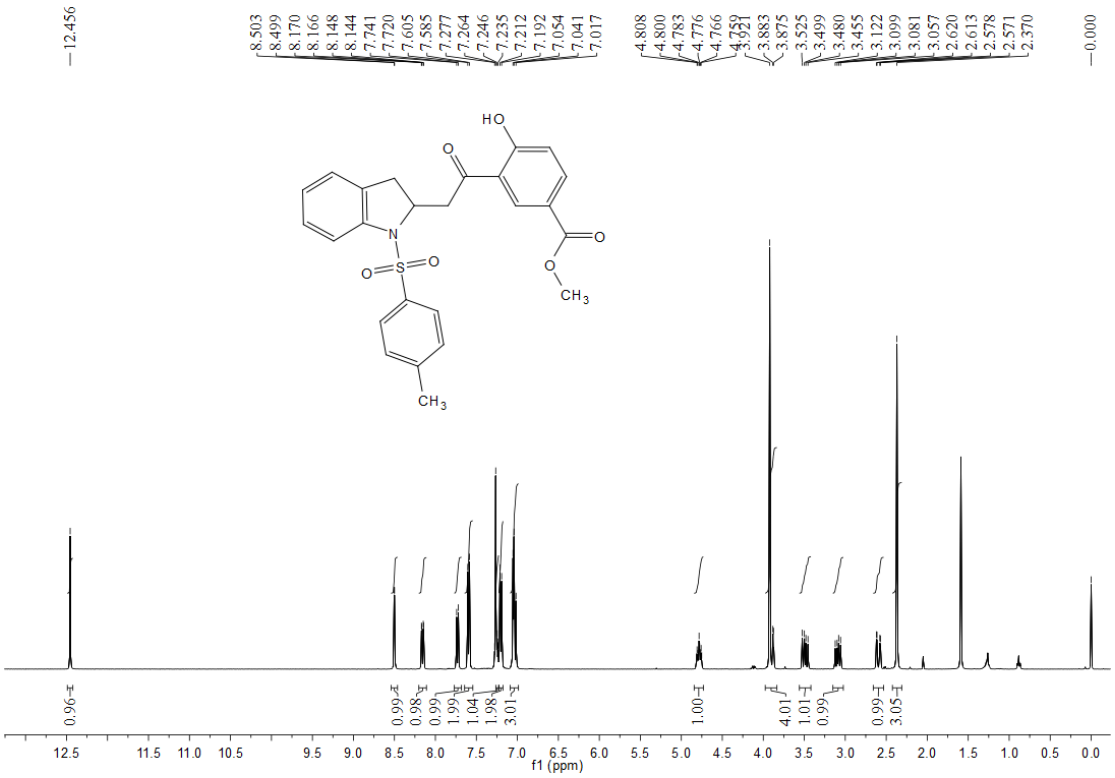


^{1}H NMR (400 MHz, $CDCl_3$) of **3oa**

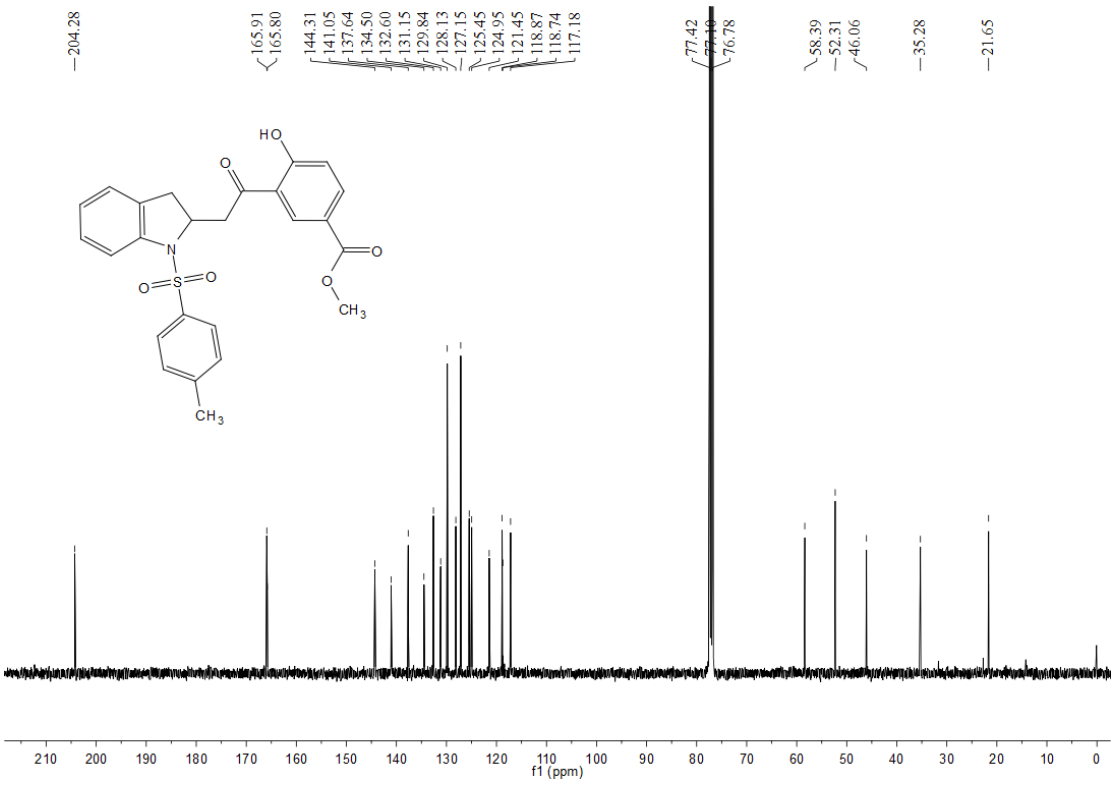


^{13}C NMR (100 MHz, $CDCl_3$) of **3oa**

methyl 4-hydroxy-3-(2-(1-tosylindolin-2-yl)acetyl)benzoate (3pa)

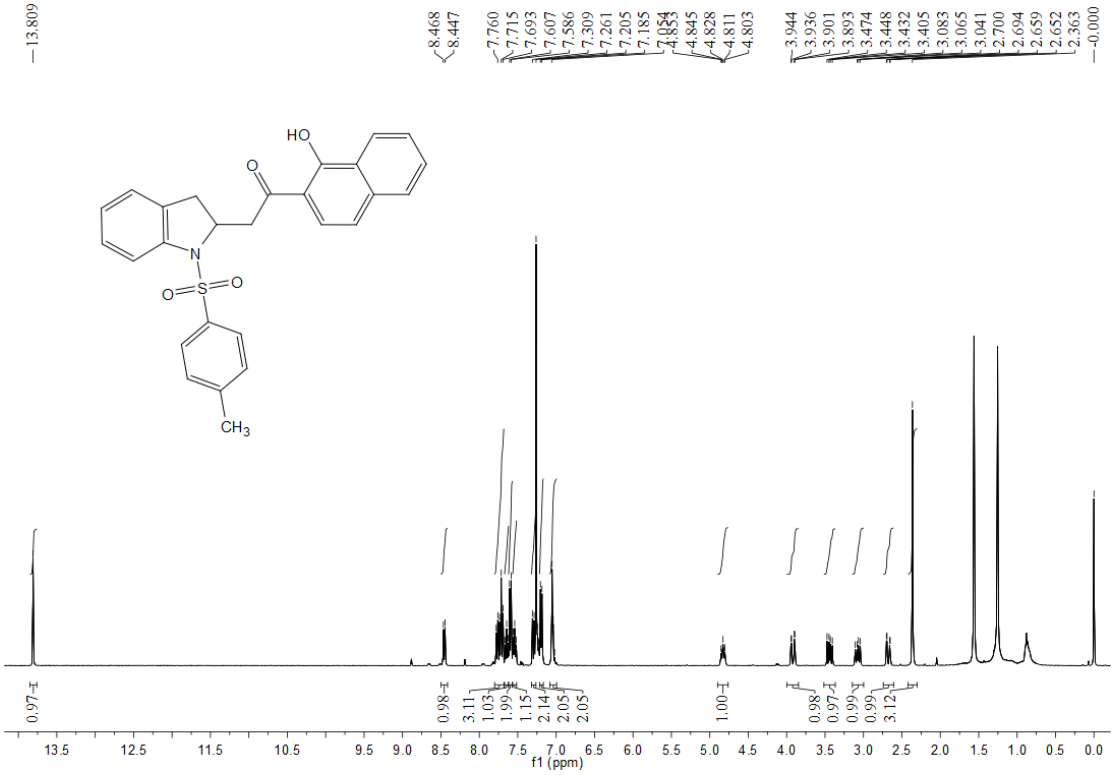


^{1}H NMR (400 MHz, $CDCl_3$) of **3pa**

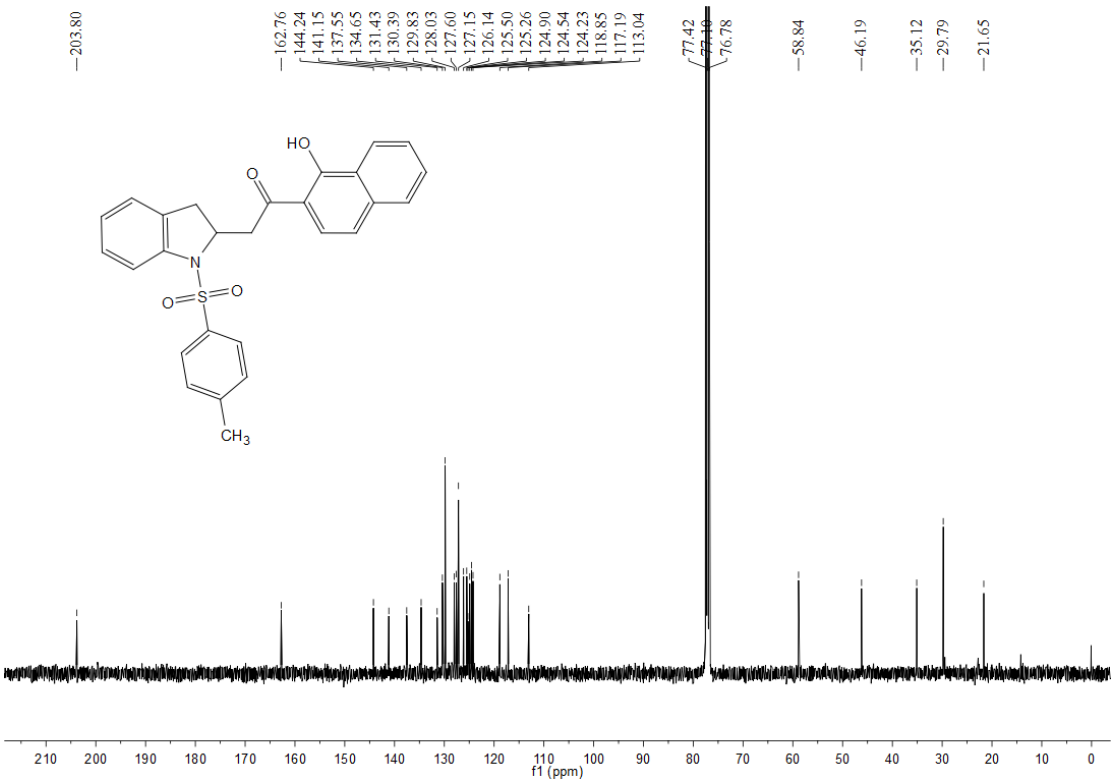


^{13}C NMR (100 MHz, $CDCl_3$) of **3pa**

1-(1-hydroxynaphthalen-2-yl)-2-(1-tosylindolin-2-yl)ethan-1-one (3qa)

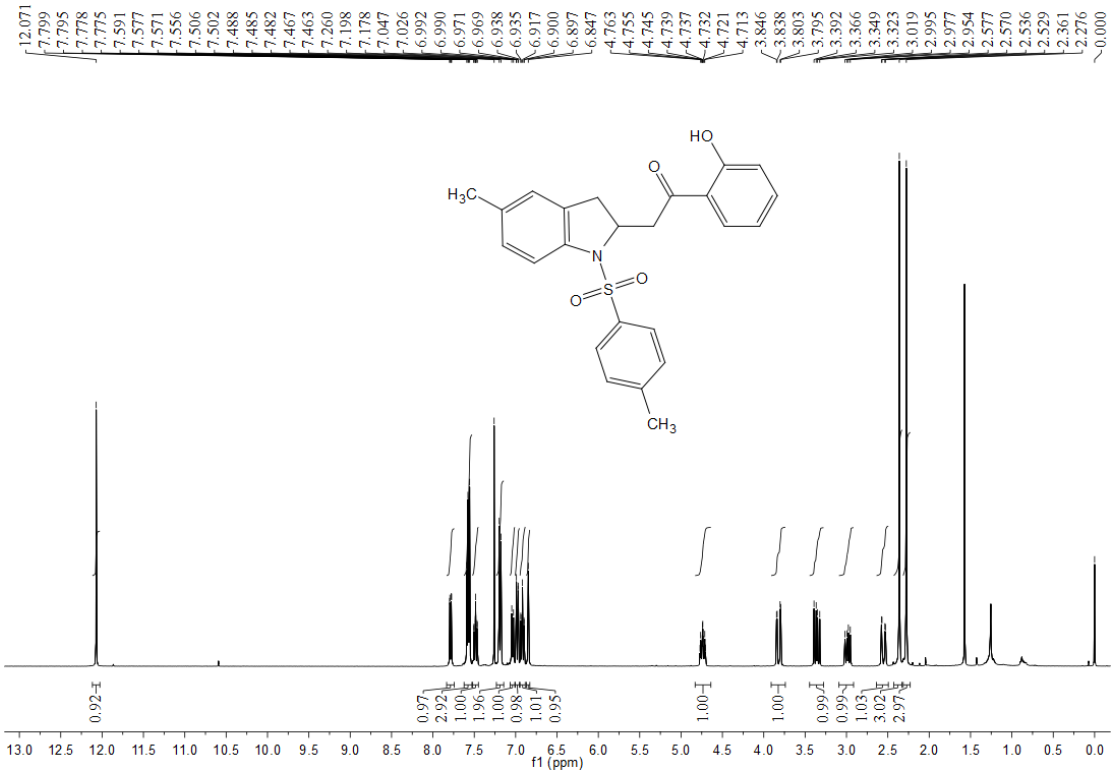


^{1}H NMR (400 MHz, $CDCl_3$) of **3qa**

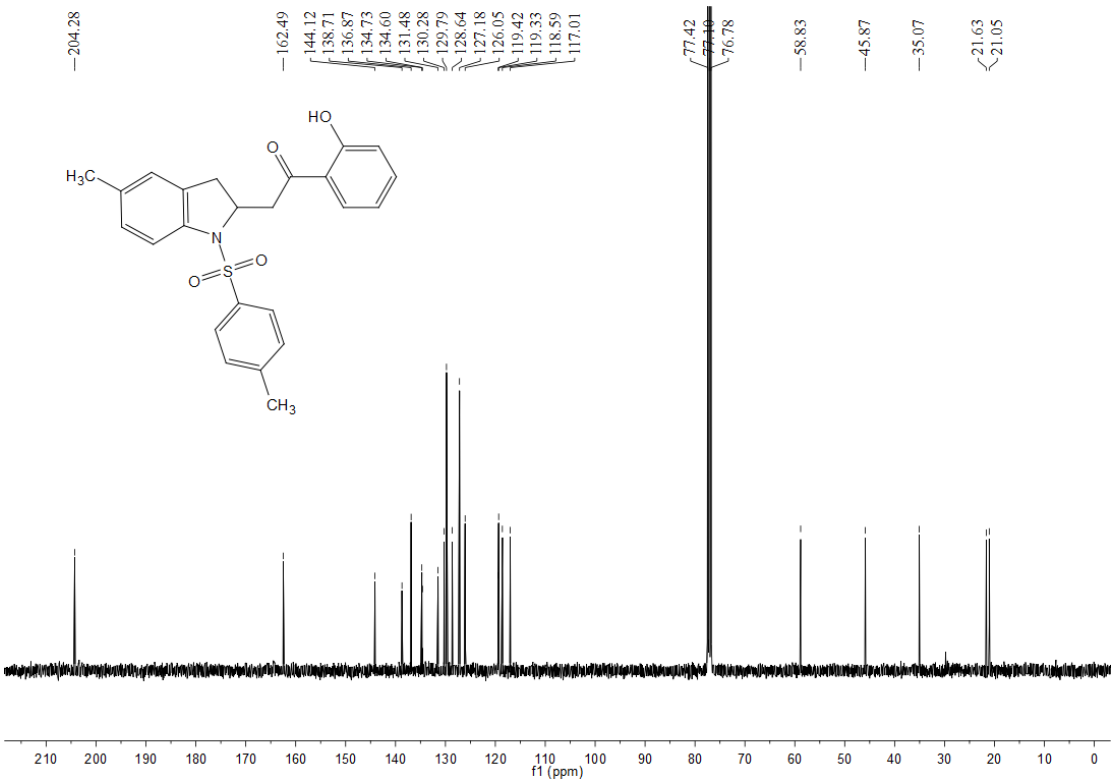


^{13}C NMR (100 MHz, $CDCl_3$) of **3qa**

1-(2-hydroxyphenyl)-2-(5-methyl-1-tosylindolin-2-yl)ethan-1-one (3ab)

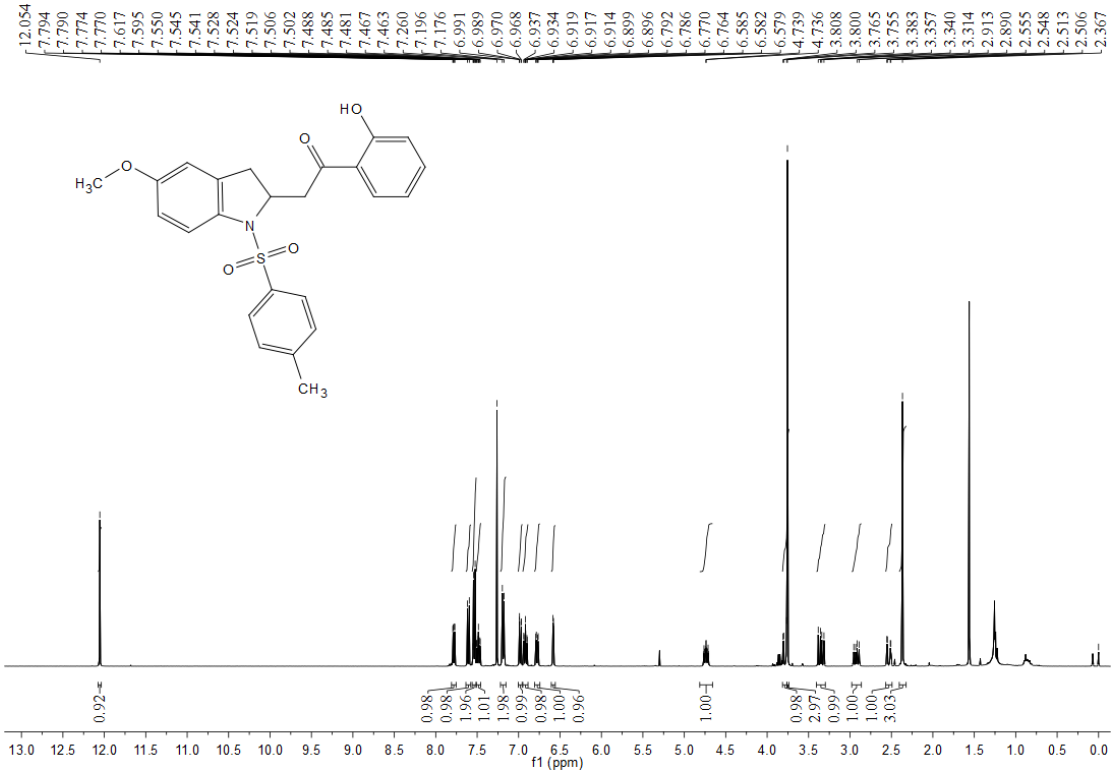


^{1}H NMR (400 MHz, $CDCl_3$) of **3ab**

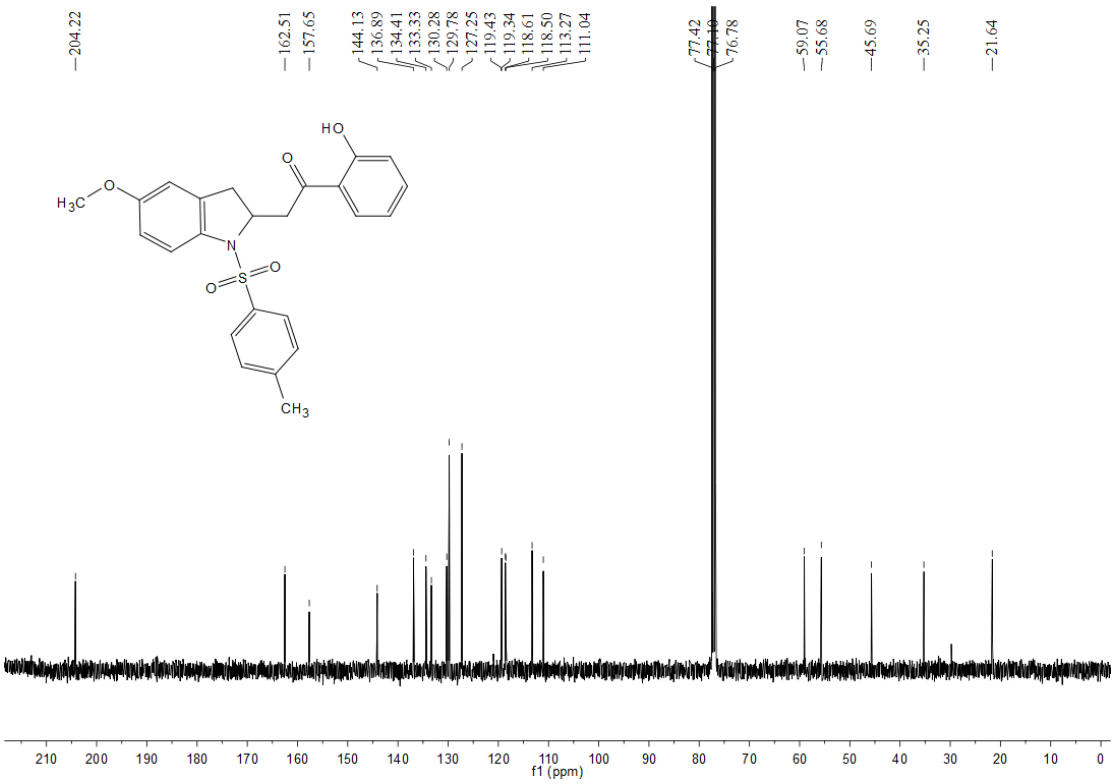


^{13}C NMR (100 MHz, $CDCl_3$) of **3ab**

1-(2-hydroxyphenyl)-2-(5-methoxy-1-tosylindolin-2-yl)ethan-1-one (3ac)

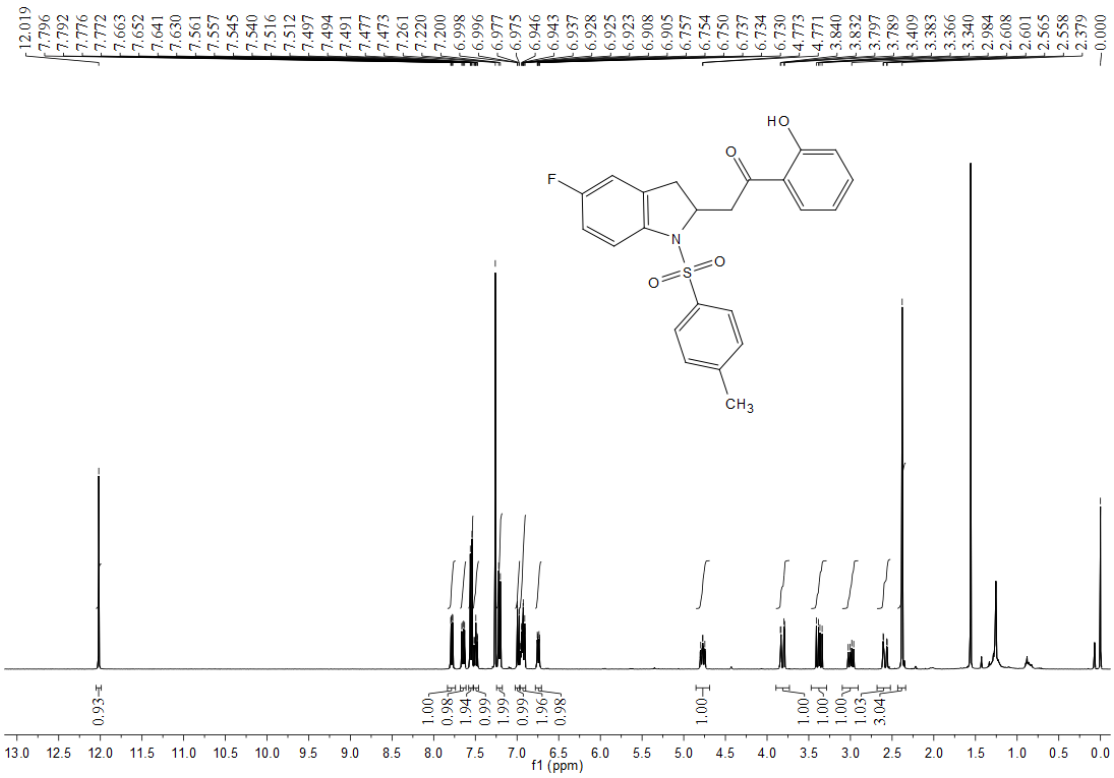


^{1}H NMR (400 MHz, $CDCl_3$) of **3ac**

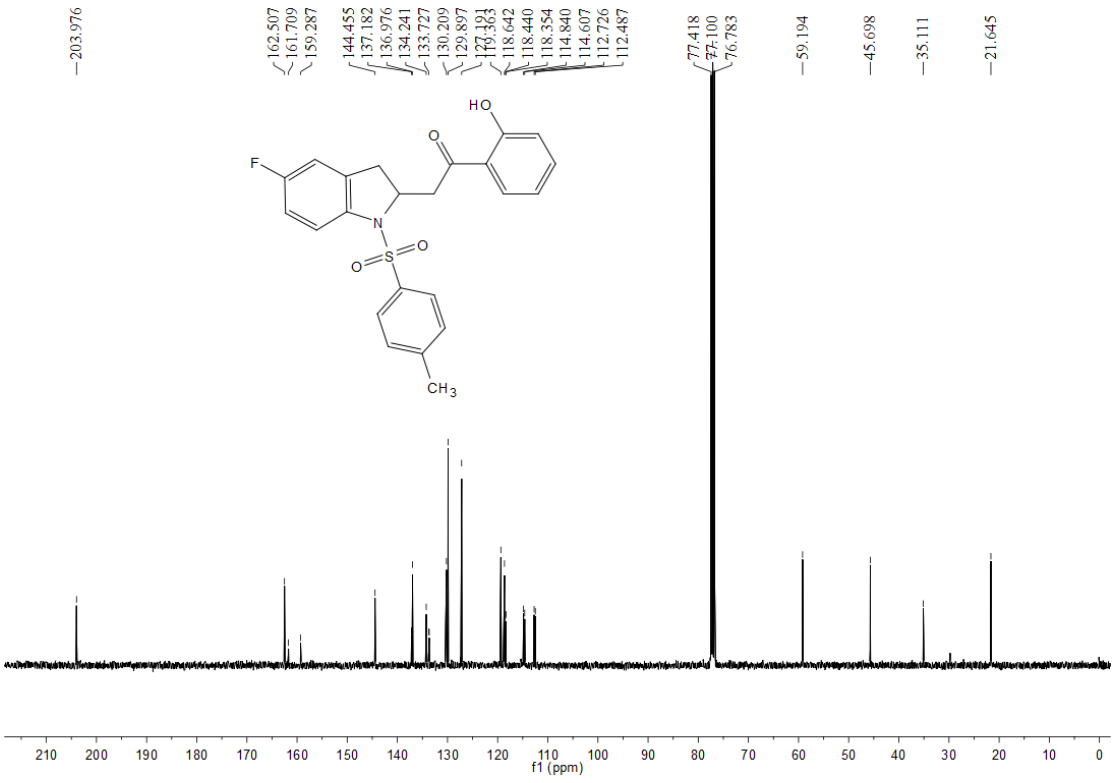


^{13}C NMR (100 MHz, $CDCl_3$) of **3ac**

2-(5-fluoro-1-tosylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ad)

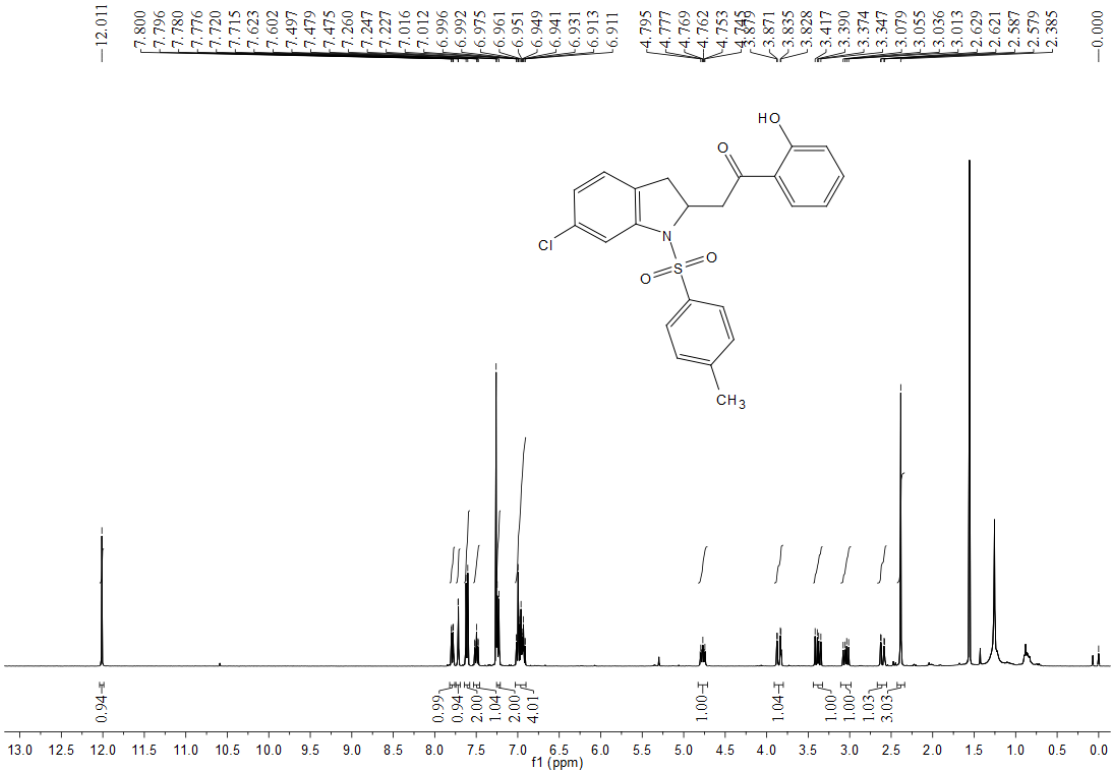


^{1}H NMR (400 MHz, $CDCl_3$) of **3ad**

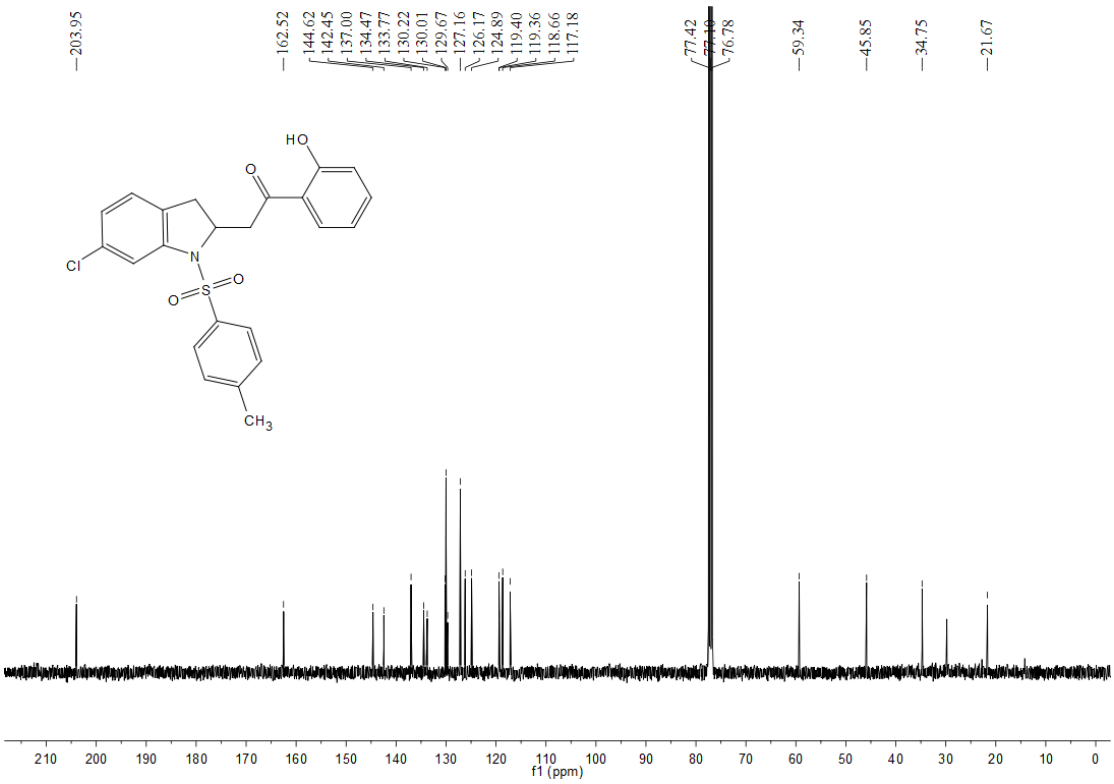


^{13}C NMR (100 MHz, $CDCl_3$) of **3ad**

2-(6-chloro-1-tosylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ae)

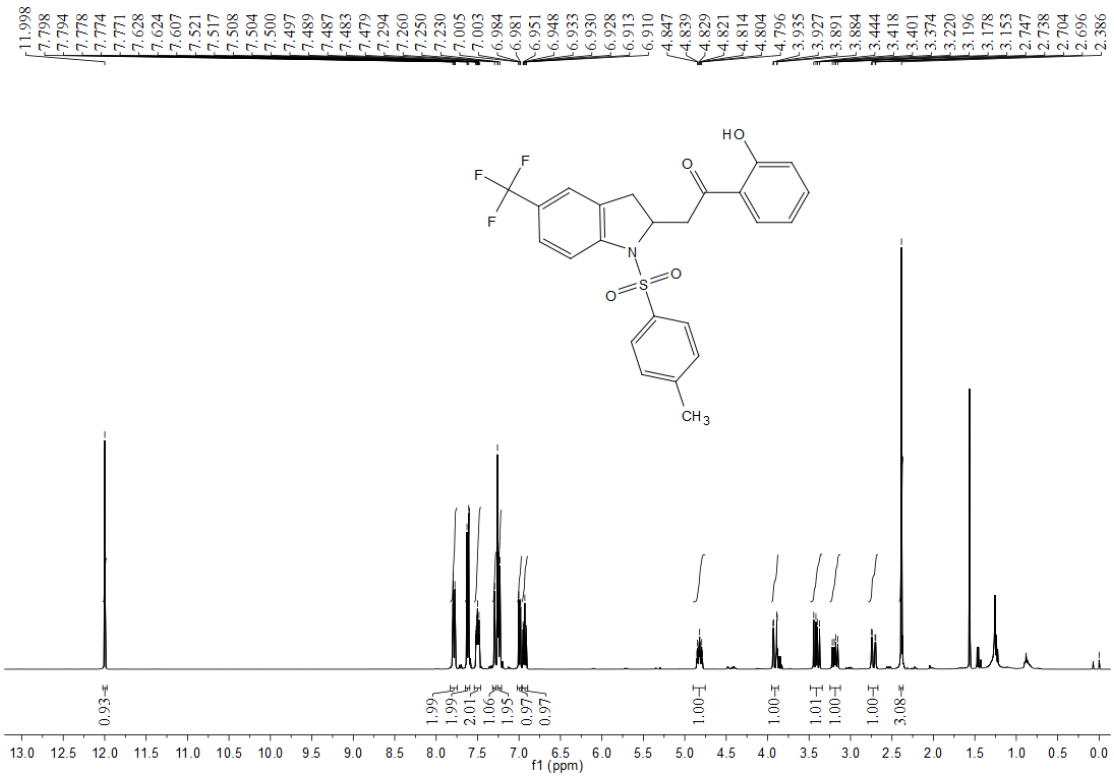


^{1}H NMR (400 MHz, $CDCl_3$) of **3ae**

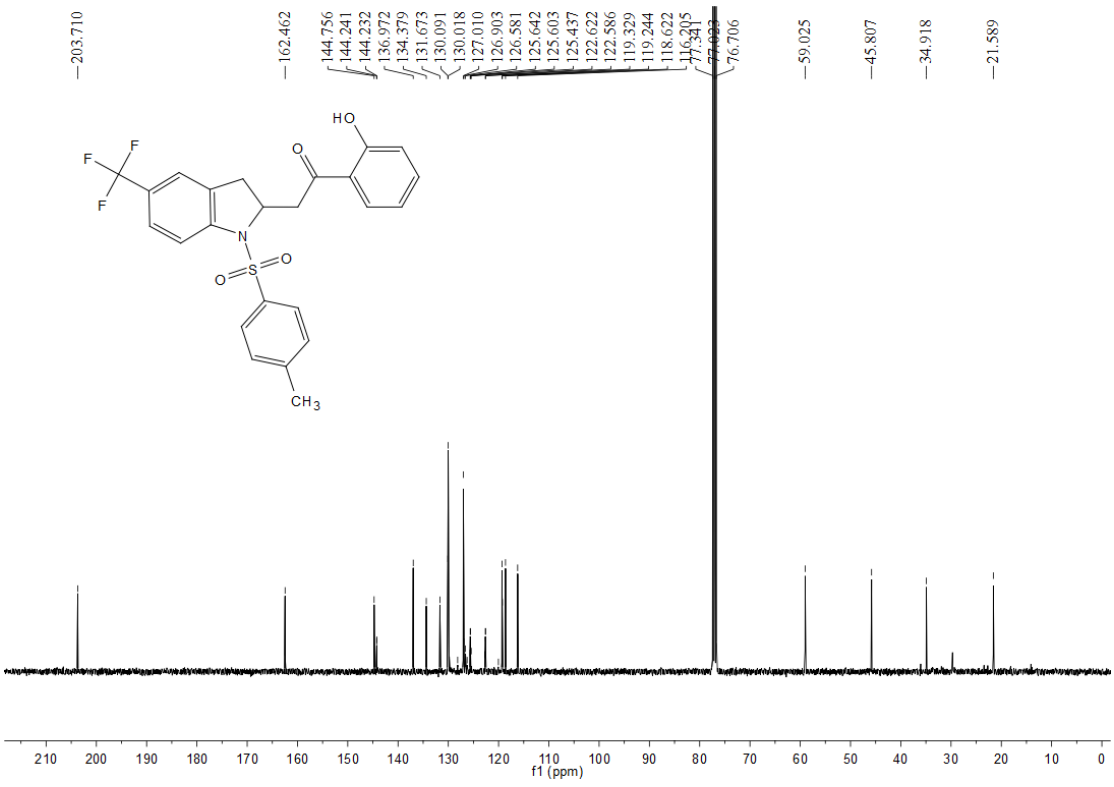


^{1}H NMR (400 MHz, $CDCl_3$) of **3ae**

1-(2-hydroxyphenyl)-2-(1-tosyl-5-(trifluoromethyl)indolin-2-yl)ethan-1-one (3af)

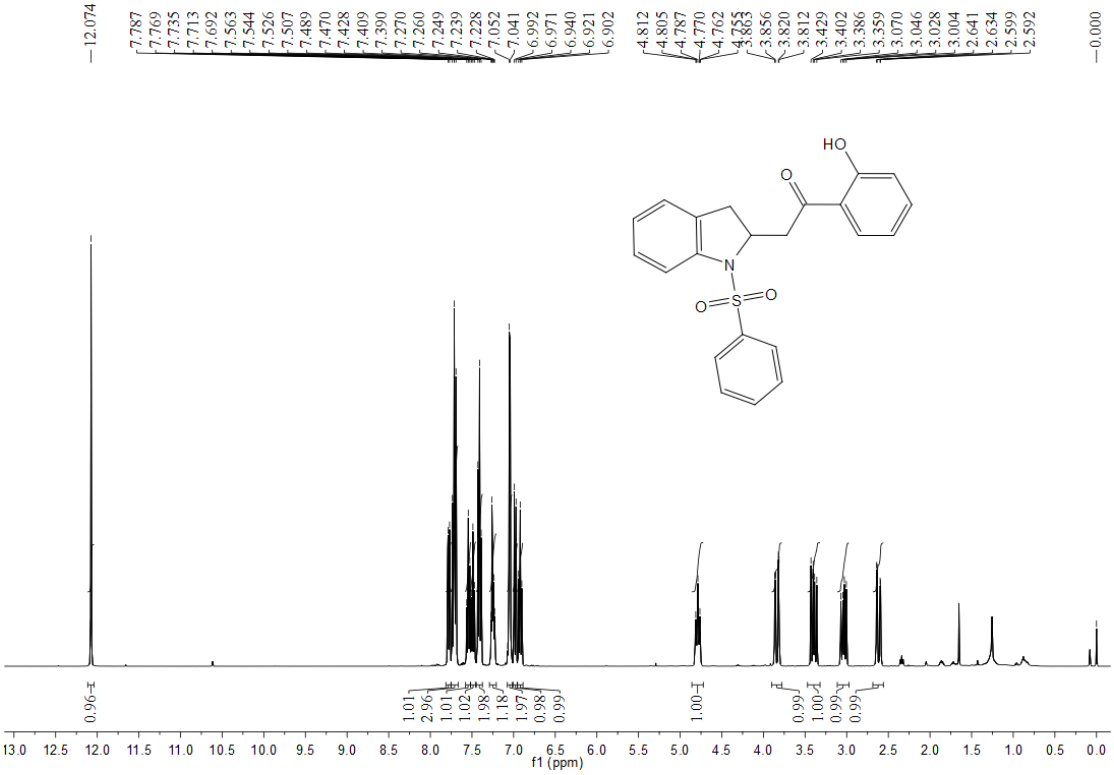


^{1}H NMR (400 MHz, $CDCl_3$) of **3af**

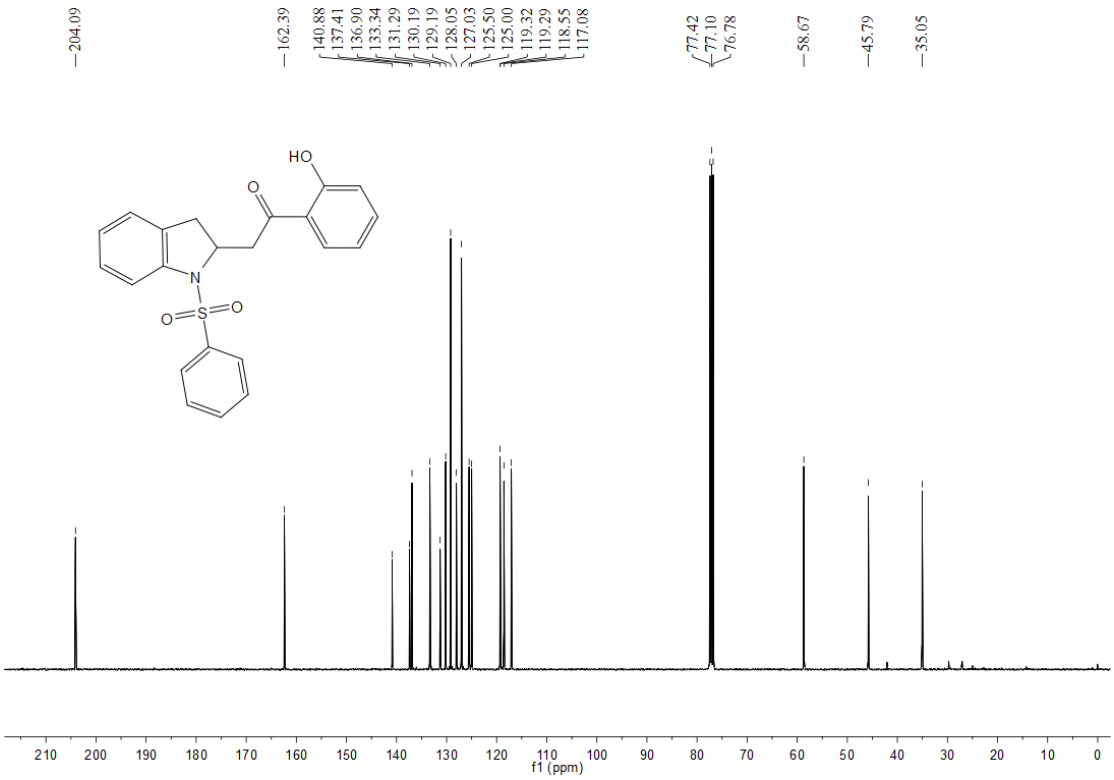


^{13}C NMR (100 MHz, $CDCl_3$) of **3af**

1-(2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3ag)

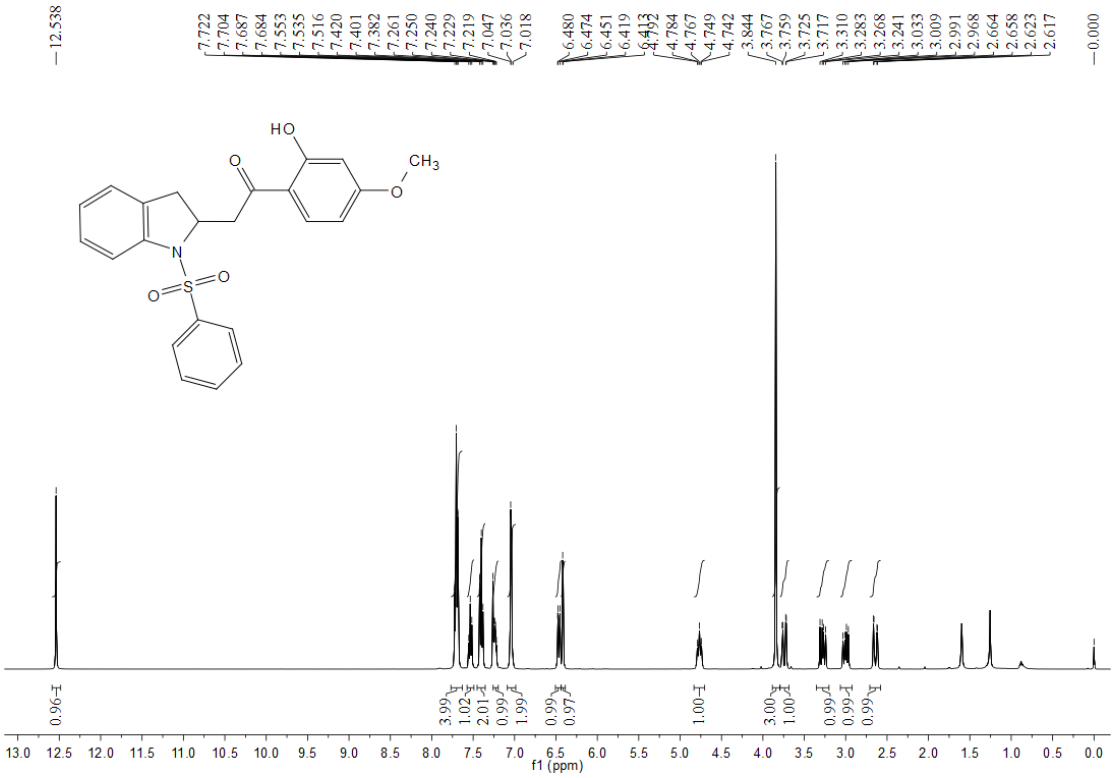


^{1}H NMR (400 MHz, $CDCl_3$) of **3ag**

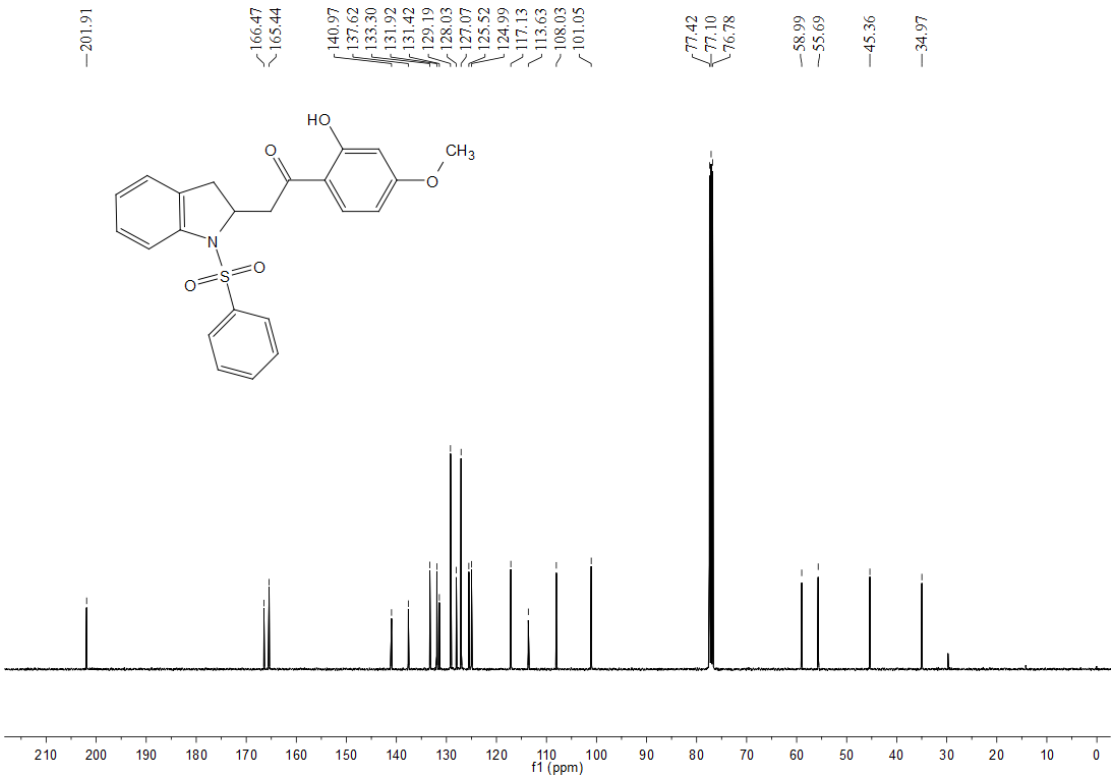


^{13}C NMR (100 MHz, $CDCl_3$) of **3ag**

1-(2-hydroxy-4-methoxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3dg)

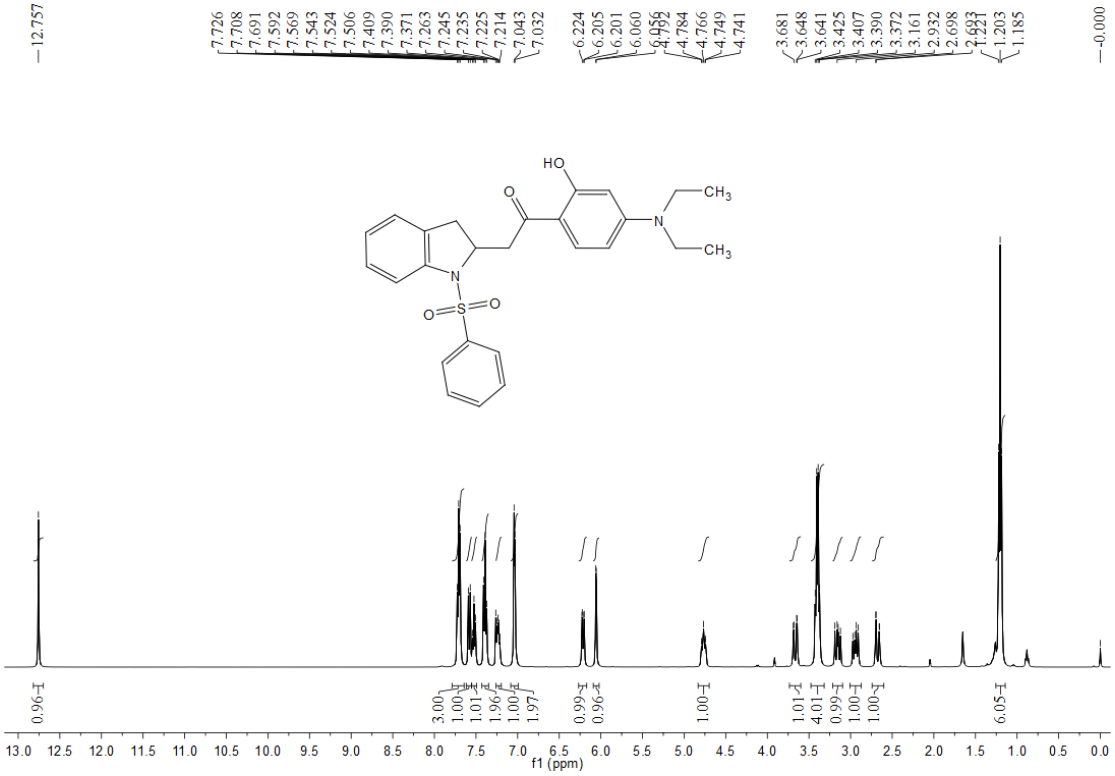


^{1}H NMR (400 MHz, $CDCl_3$) of **3dg**

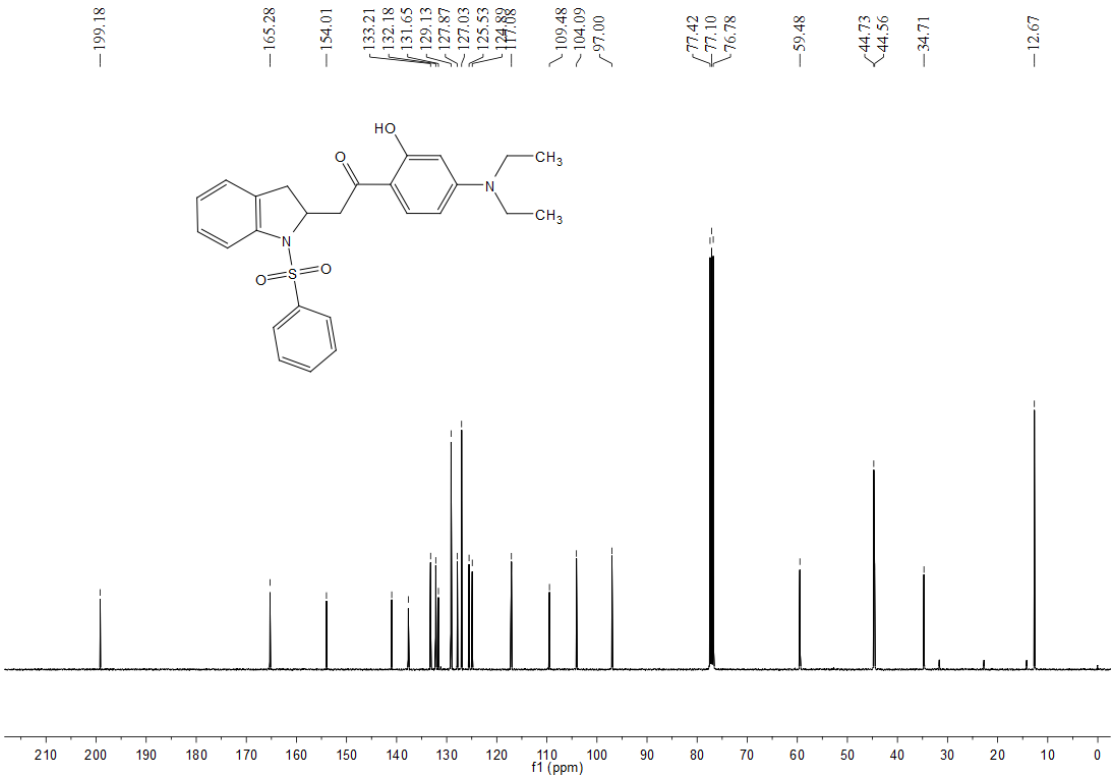


^{13}C NMR (100 MHz, $CDCl_3$) of **3dg**

1-(4-(diethylamino)-2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3hg)

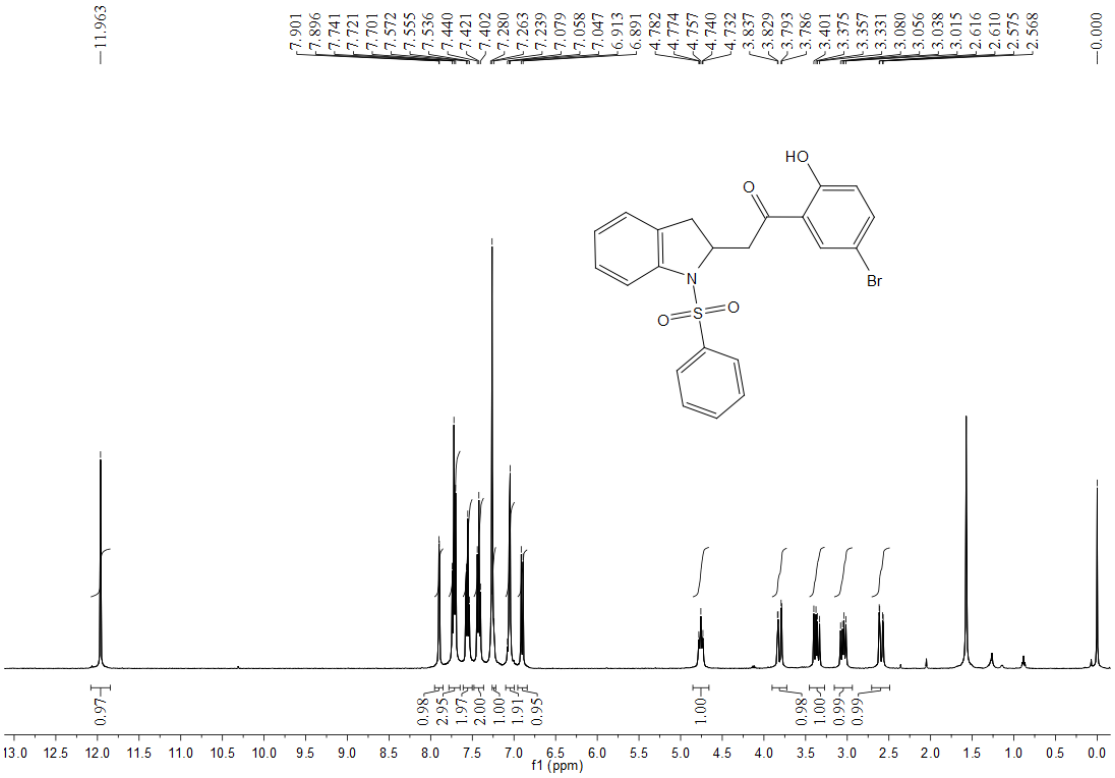


^{1}H NMR (400 MHz, $CDCl_3$) of **3hg**

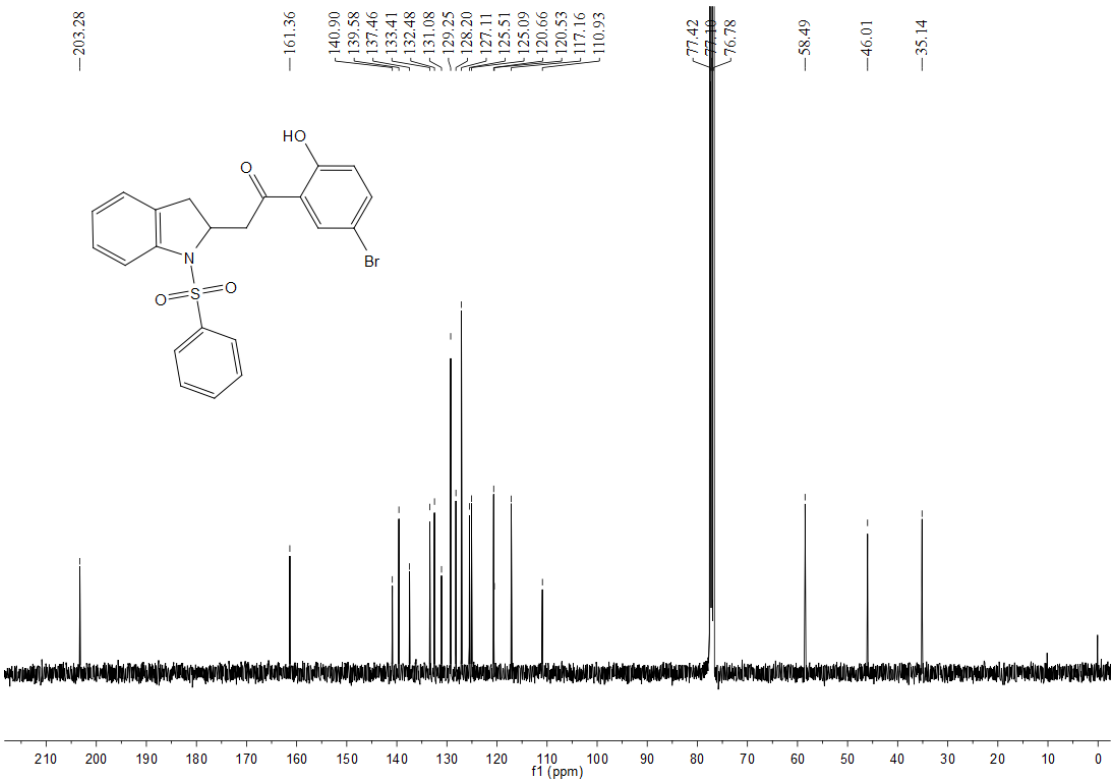


^{13}C NMR (100 MHz, $CDCl_3$) of **3hg**

1-(5-bromo-2-hydroxyphenyl)-2-(1-(phenylsulfonyl)indolin-2-yl)ethan-1-one (3mg)

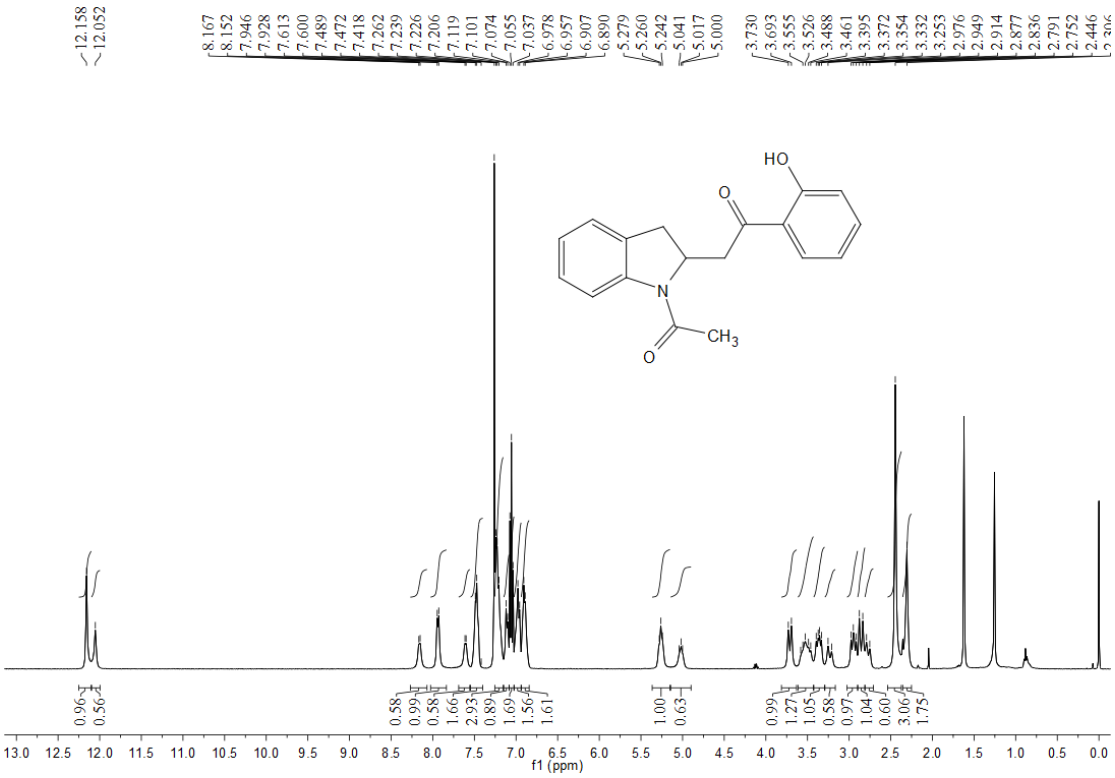


^{1}H NMR (400 MHz, $CDCl_3$) of **3mg**

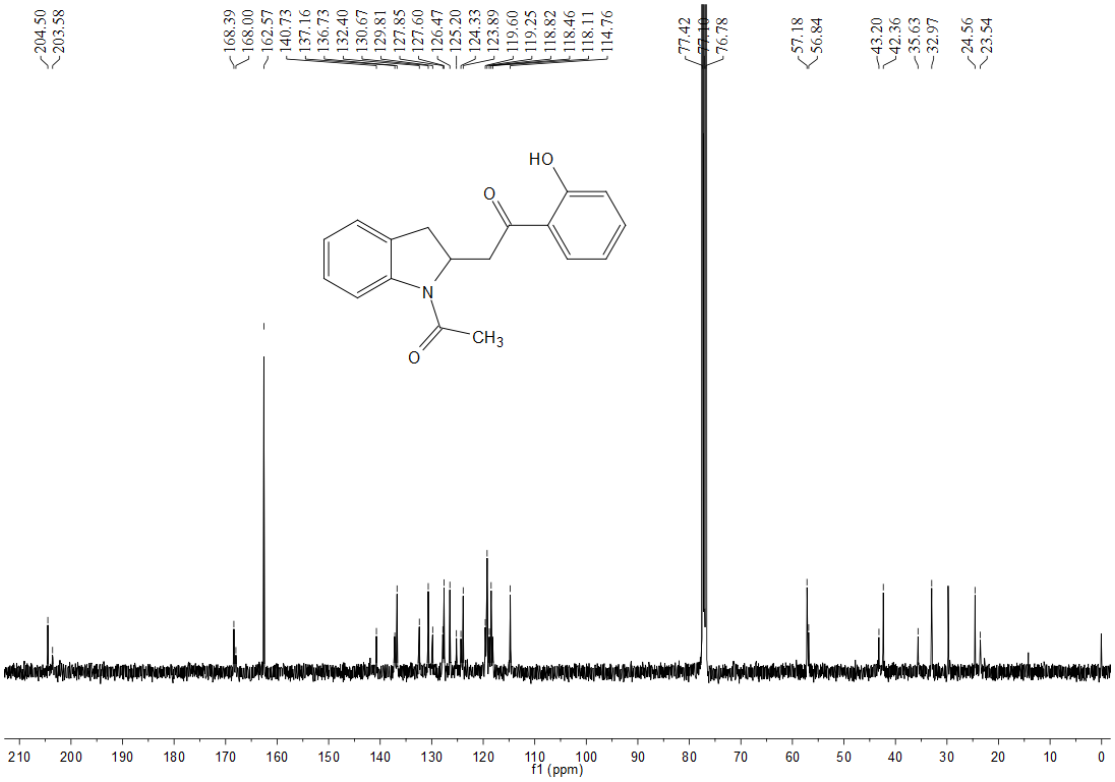


^{13}C NMR (100 MHz, $CDCl_3$) of **3mg**

2-(1-acetylindolin-2-yl)-1-(2-hydroxyphenyl)ethan-1-one (3ah)

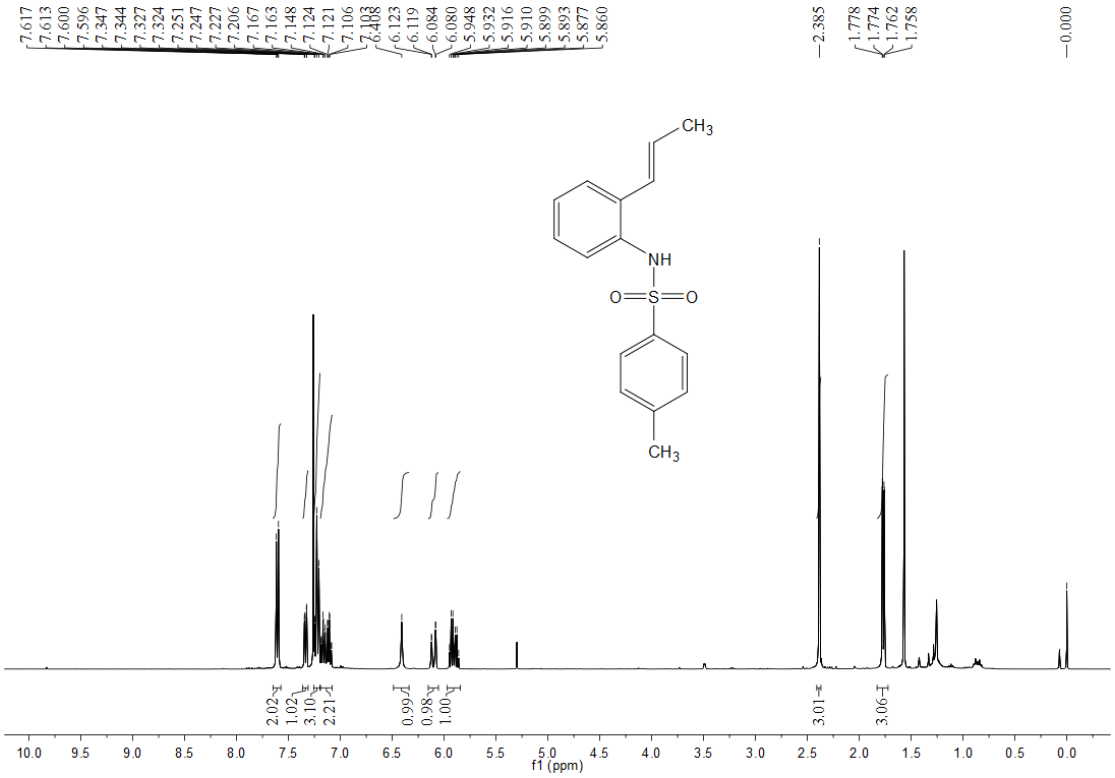


^{1}H NMR (400 MHz, $CDCl_3$) of **3ah**

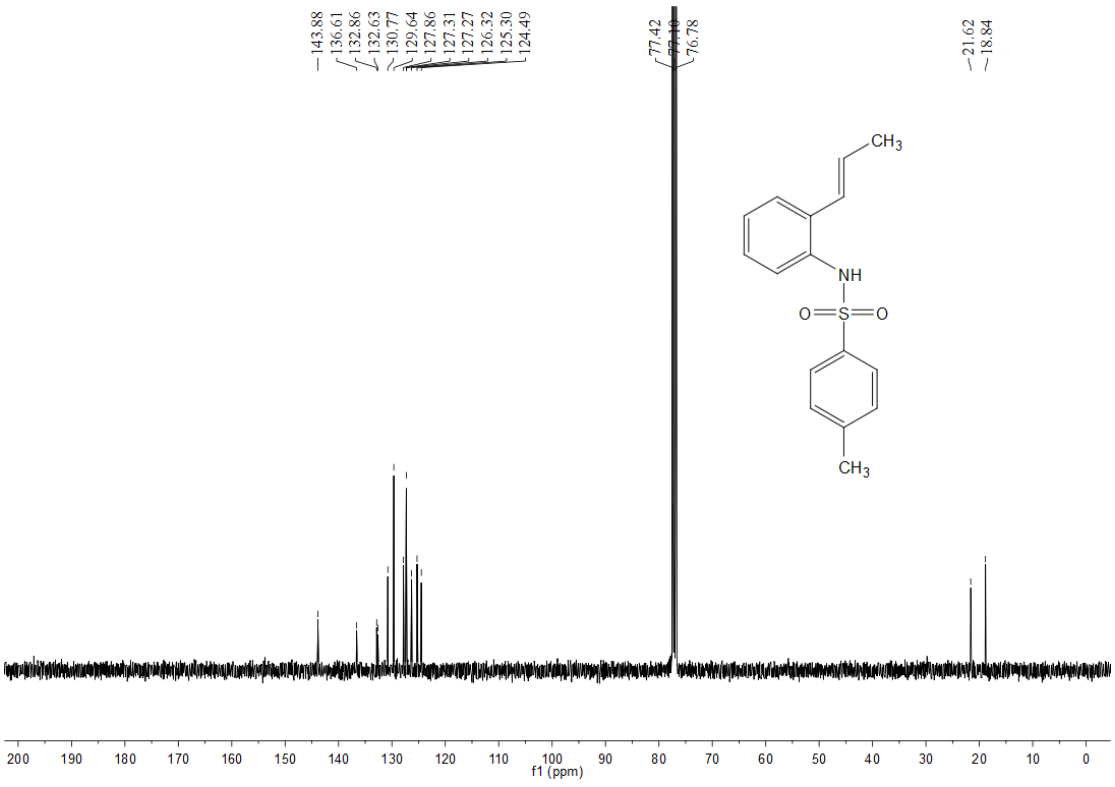


^{13}C NMR (100 MHz, $CDCl_3$) of **3ah**

(*E*)-4-methyl-*N*-(2-(prop-1-en-1-yl)phenyl)benzenesulfonamide (8)

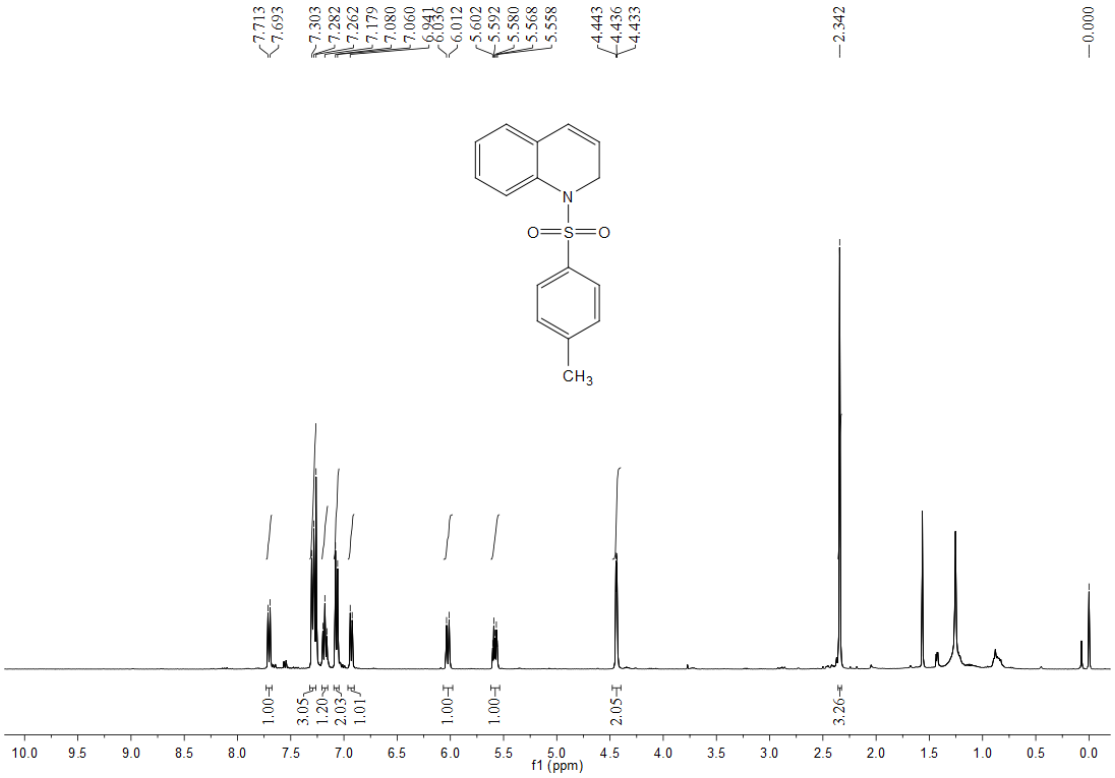


^{1}H NMR (400 MHz, $CDCl_3$) of **8**

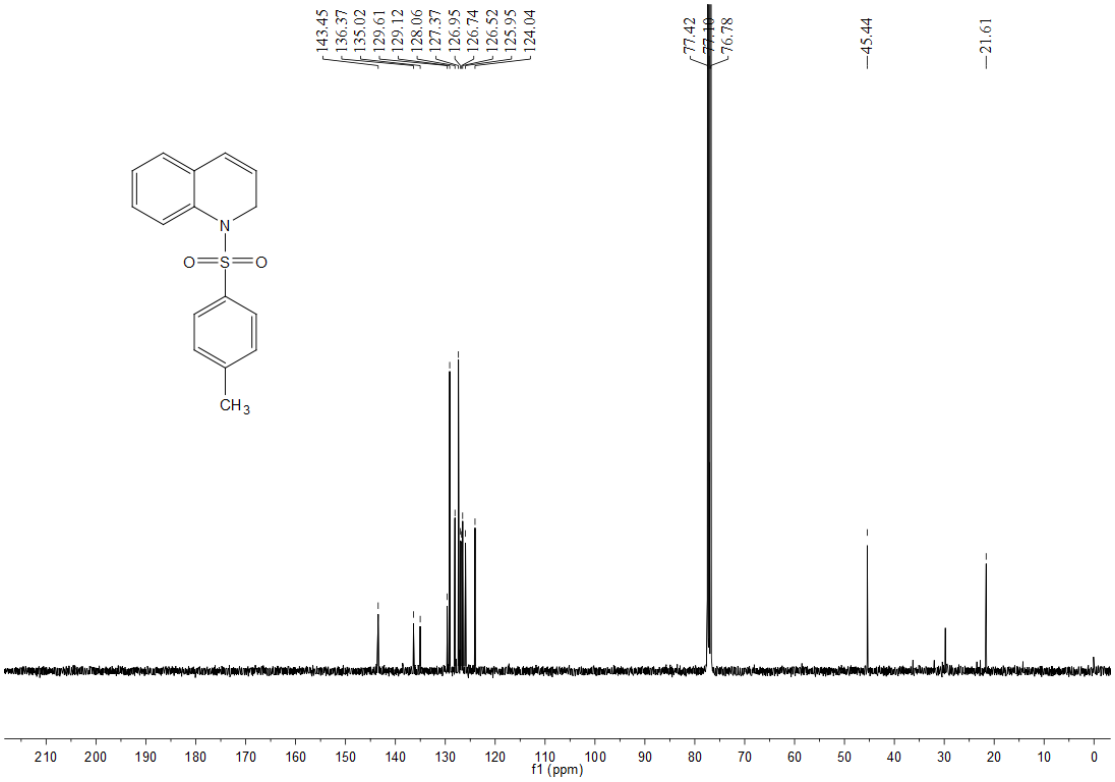


^{13}C NMR (100 MHz, $CDCl_3$) of **8**

1-tosyl-1,2-dihydroquinoline (9)

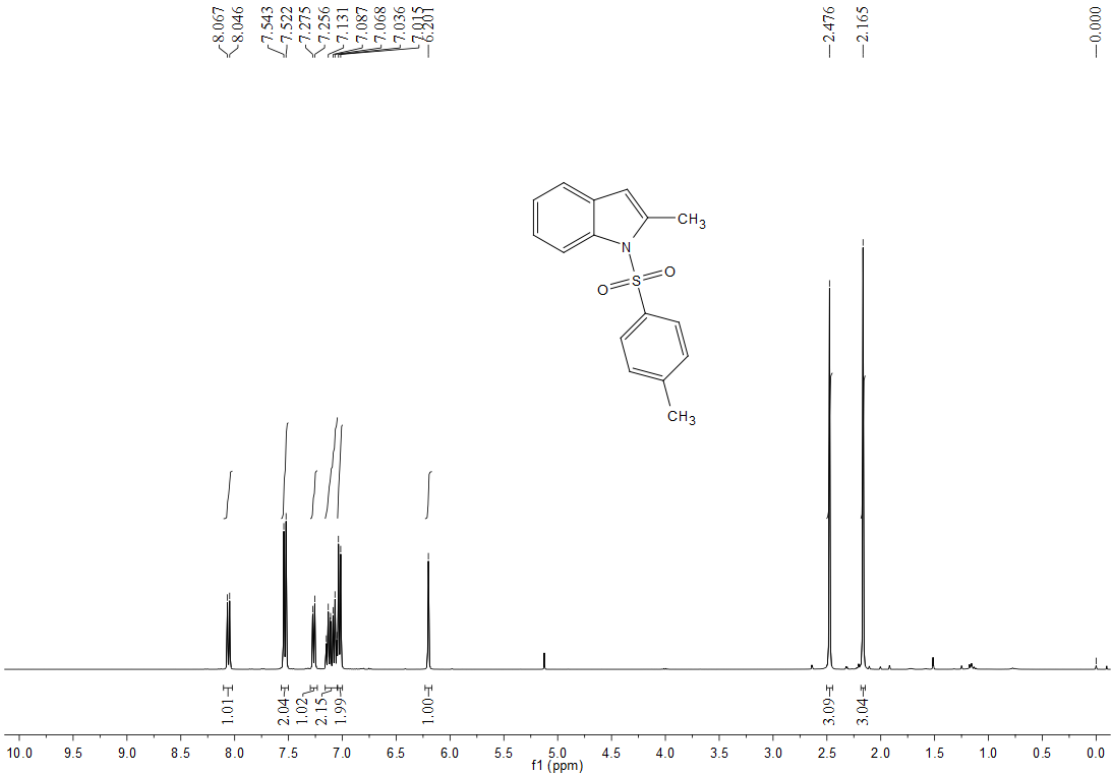


^{1}H NMR (400 MHz, $CDCl_3$) of **9**

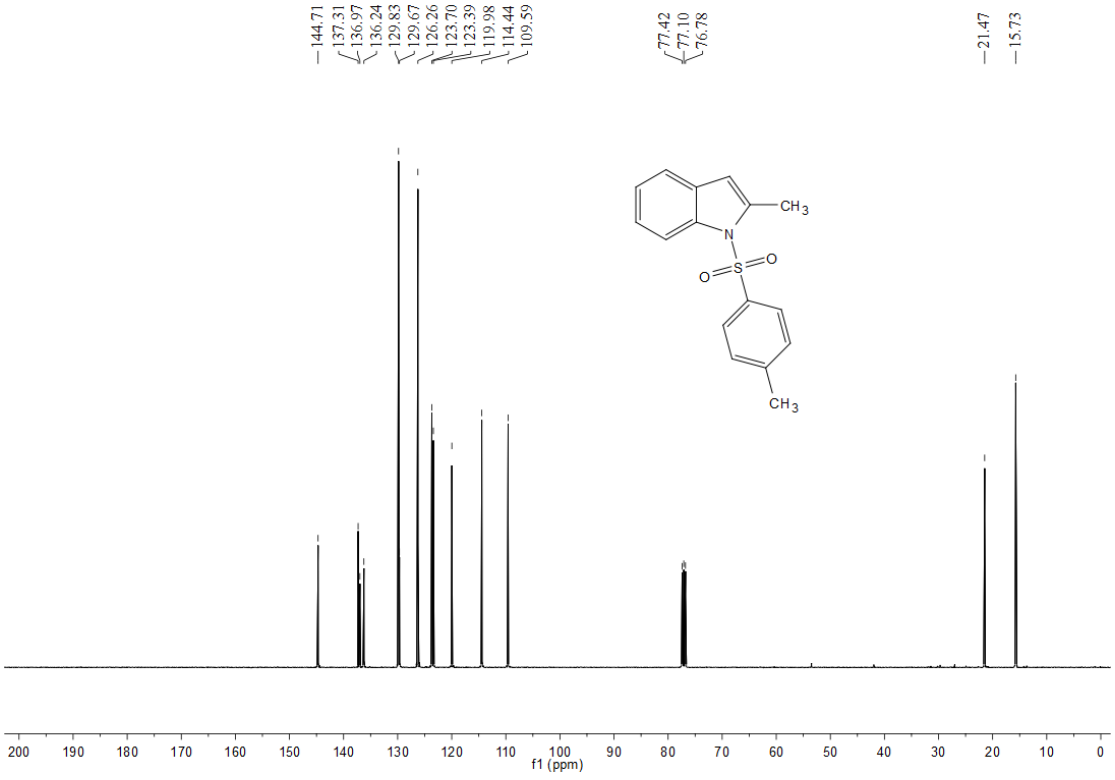


^{13}C NMR (100 MHz, $CDCl_3$) of **9**

2-Methyl-1-tosyl-1*H*-indole (10)



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



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