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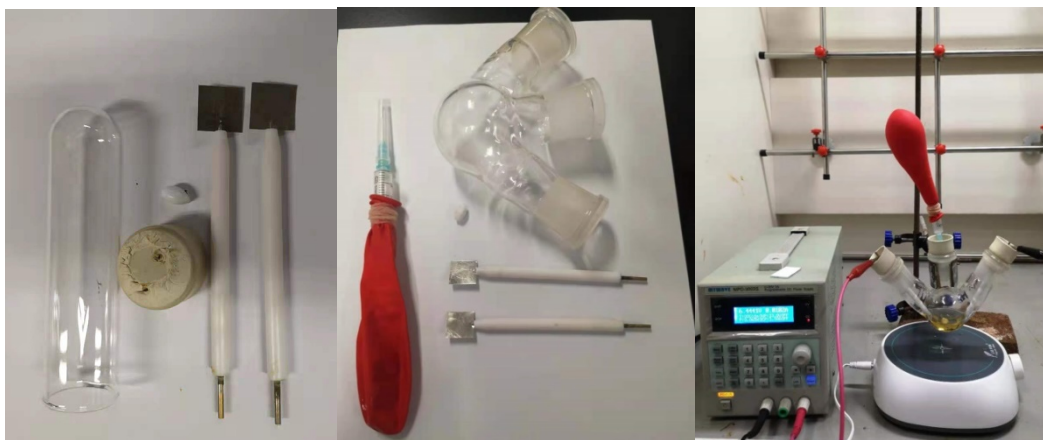
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1. Materials and Methods:

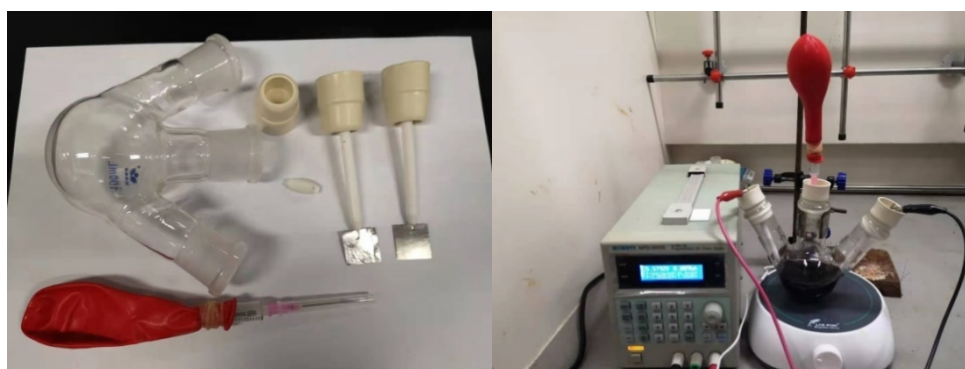
All commercially available reagents were used without any further purification. Ananalytical grade solvents were bought from Energy Chemical Co., LTD. The reactions were carried out under constant electro current condition (10 mA) (otherwise noted), an ambient atmosphere, magnetically stirred, and monitored by thin layer chromatography (TLC), visualized by fluorescence quenching under UV light. Flash chromatography was performed on silica gel (200-300 mesh). Cyclic voltammograms were recorded on a CHI 660E potentiostat. The instrument for electrolysis is dual display potentiostat (DJS-292B) (made in China). The Both anode electrode and cathode electrode are platinum plate electrodes (10 mm×10 mm×0.1 mm or 30 mm×30 mm×0.1 mm). All deuterated solvents were purchased from Meryer (Shanghai) chemical technology Co., LTD. NMR spectra were recorded on a Bruker Ascend 300 spectrometer operating at 300MHz for ¹H acquisitions, 75 MHz for ¹³C acquisitions and 282 MHz for ¹⁹F acquisitions. Chemical shifts were referenced to the residual proton solvent peaks (¹H: CDCl₃, δ 7.26; (CD₃)₂SO, δ 2.50), solvent ¹³C signals (CDCl₃, δ 77.16; (CD₃)₂SO, δ 39.52), PhCF₃ (¹⁹F, δ -63.3 relative to CFCl₃). Signals are listed in ppm, and multiplicity identified as s = singlet, br = broad, d = doublet, t = triplet, q = quartet, m = multiplet; coupling constants in Hz; integration. High-resolution mass spectra were obtained using Agilent LC-UV-TOFmass spectrometer. Yields refer to purified and spectroscopically pure compounds.

2. Information for reaction set up:

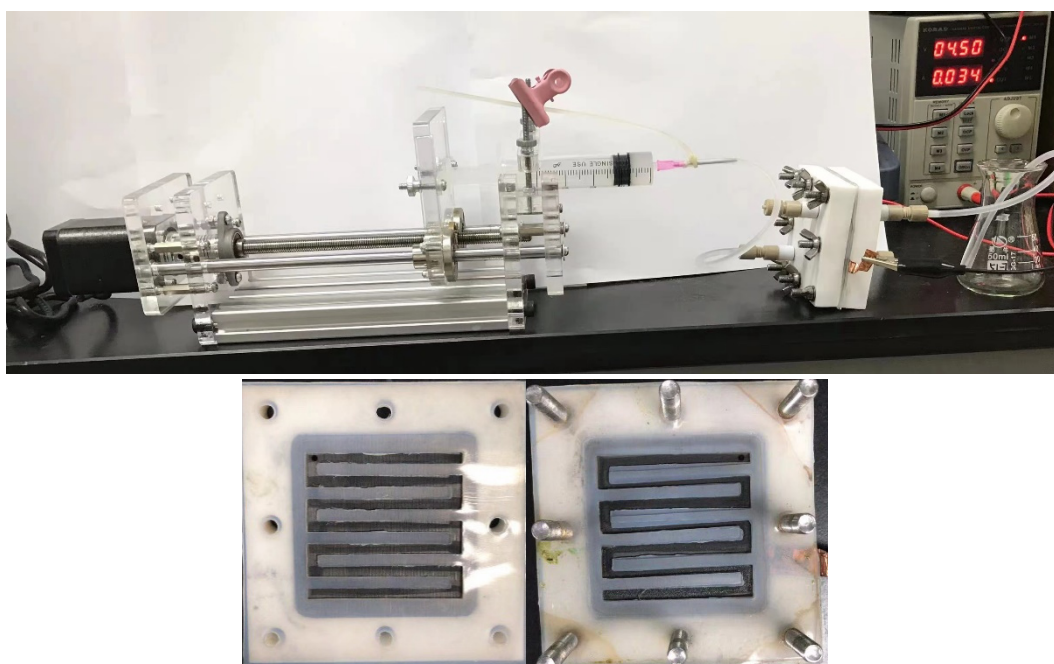
2.1. Small scale reaction:



2.2. Large scale reaction:



2.3. Electrochemical continuous-flow reactor:



3. Mechanistic studies

3.1. Cyclic voltametry studies:

Cyclic voltametry studies: Cyclic voltammograms were recorded on a CHI 660E potentiostat. The cyclic voltammograms of compounds **1a**, **2a** and **3a** were recorded in an electrolyte of $n\text{Bu}_4\text{NBF}_4$ (0.1 M) in HFIP/DCM (1:1) using a Pt working electrode (diameter, 2 mm), a Pt wire auxiliary electrode and a SCE reference electrode under N_2 (Figure S1-S4). The scan rate is 100 mV/s. ($T = 20\text{ }^\circ\text{C}$, $c = 0.001\text{M}$). The oxidation onset of **1a** and **2a** shows at 1.10 V and 1.05 V vs SCE respectively.

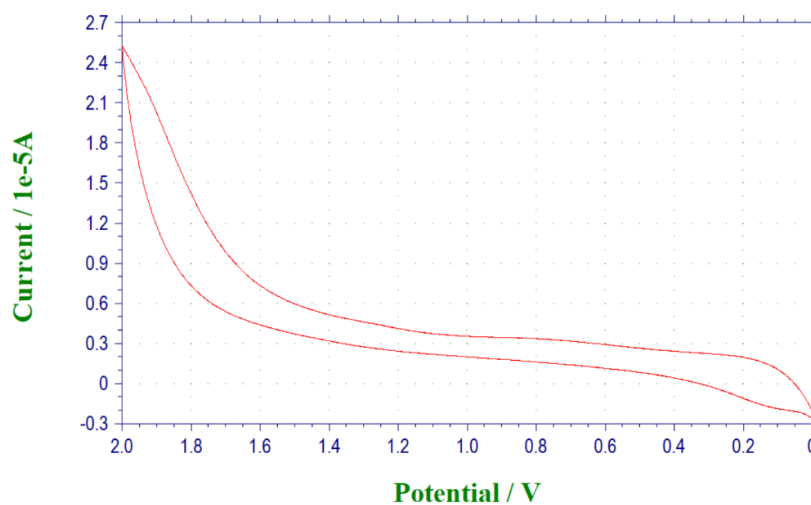


Figure S1 CV of compounds **black**

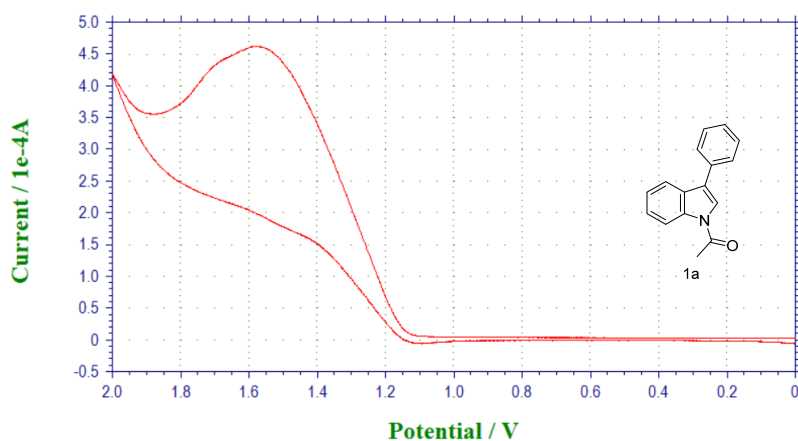


Figure S2. CV of compound **1a**

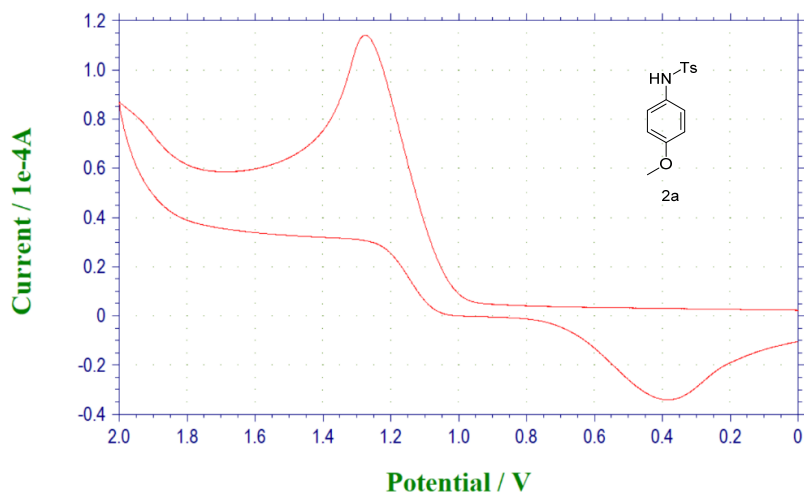


Figure S3.CV of compound2a

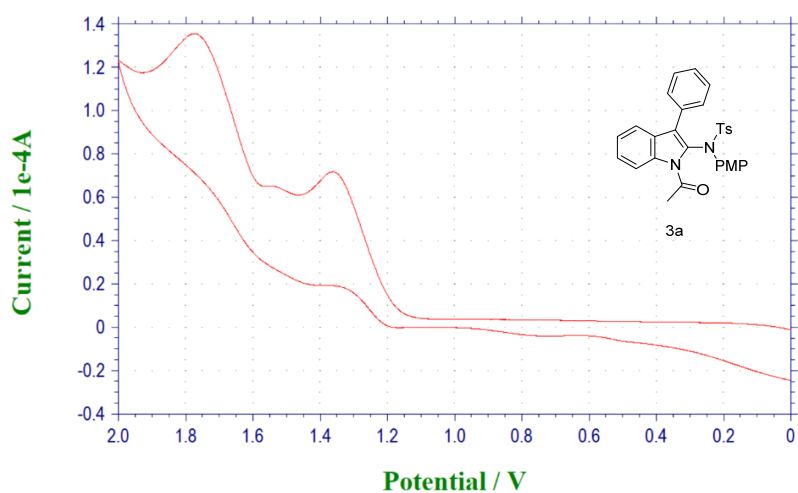
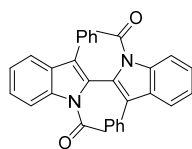


Figure S4.CV of compound3a

3.2. The isolation and characterization of byproduct from the reaction mixture

1,1'-(3,3'-Diphenyl-1*H*,1'*H*-[2,2'-biindole]-1,1'-diyl)bis(ethan-1-one) (13)



13

White solid (8 mg, 2 % yield, $R_f = 0.74$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.32 (d, $J = 8.2$ Hz, 2H), 7.55 (d, $J = 7.5$ Hz, 2H), 7.45 (t, $J = 7.3$ Hz, 2H), 7.30 (t, $J = 7.5$ Hz, 2H), 7.19 (s, 6H), 6.92 (d, $J = 5.6$ Hz, 4H), 2.44 (s, 6H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 169.8, 136.7, 132.4, 129.1, 128.8, 128.5, 127.4, 126.6, 126.2, 124.0, 120.6, 116.5, 25.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{32}\text{H}_{25}\text{N}_2\text{O}_2^+$ ($[\text{M}+\text{H}]^+$), 469.1911, found, 469.1910.

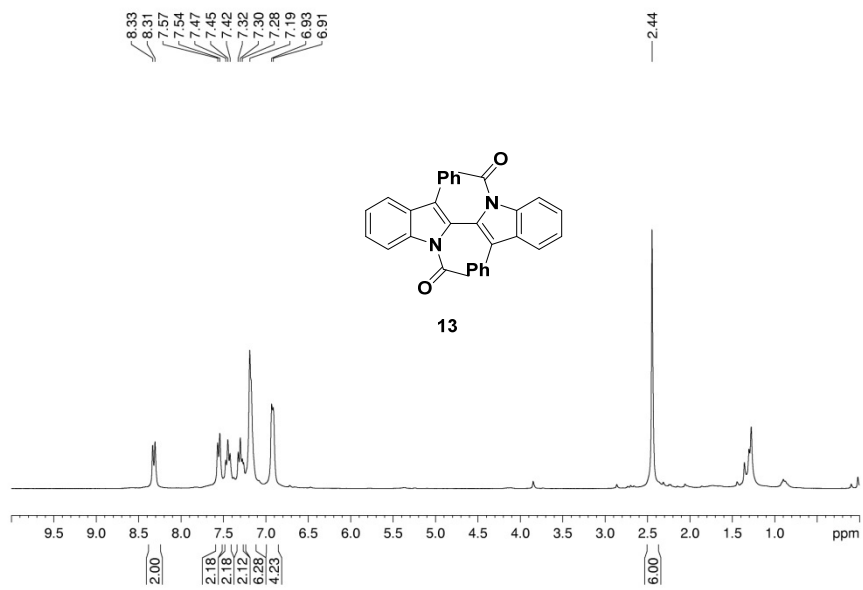


Figure S5. ¹H NMR spectrum of **13**

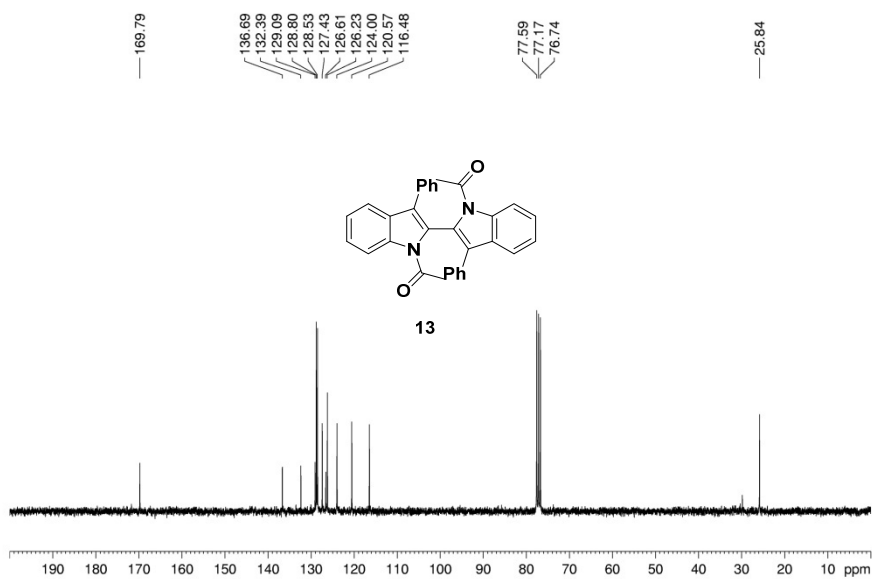
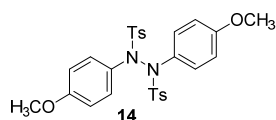
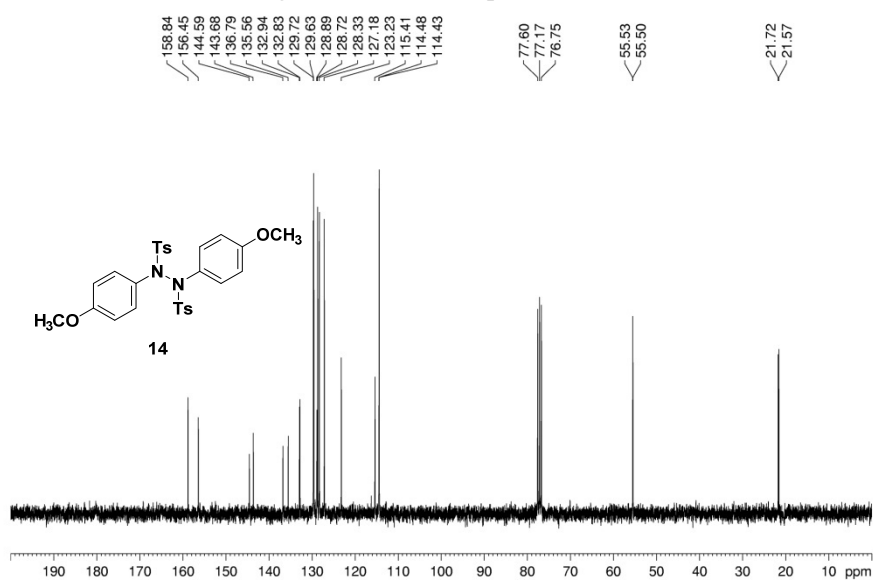
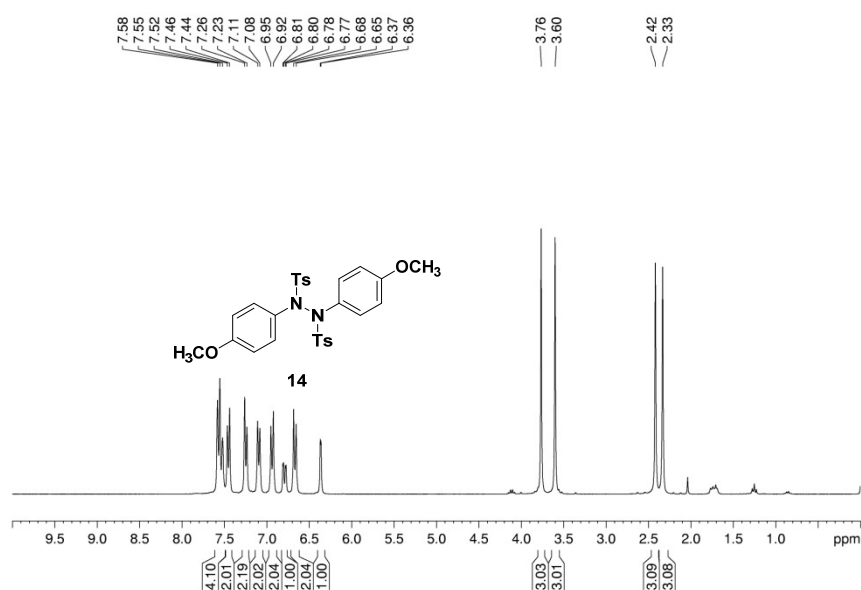


Figure S6. ¹³C NMR spectrum of **13**

N,N'-Bis(4-methoxyphenyl)-4-methyl-*N'*-tosylbenzenesulfonylhydrazide (**14**)



White solid (11 mg, 2 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 2 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 7.58-7.52 (m, 4H), 7.45 (d, $J = 8.1$ Hz, 2H), 7.25 (d, $J = 7.8$ Hz, 2H), 7.09 (d, $J = 7.9$ Hz, 2H), 6.94 (d, $J = 8.9$ Hz, 2H), 6.79 (dd, $J = 9.0, 2.6$ Hz, 1H), 6.67 (d, $J = 8.9$ Hz, 2H), 6.36 (d, $J = 2.5$ Hz, 1H), 3.76 (s, 3H), 3.60 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 158.8, 156.5, 144.6, 143.7, 136.8, 135.6, 132.9, 132.8, 129.7, 129.6, 128.9, 128.7, 128.3, 127.2, 123.2, 115.4, 114.5, 114.4, 55.5, 55.5, 21.7, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{28}\text{H}_{29}\text{N}_2\text{O}_6\text{S}_2^+$ ($[\text{M} + \text{H}]^+$), 553.1462, found, 553.1462.



3.3. Radical trapping experiments

When triethyl phosphate was added to the standard reaction, the reaction was inhibited. The adduct **15** was formed and detected by HRMS, which indicated that the indole radical species may formed during the electro chemical transformation.

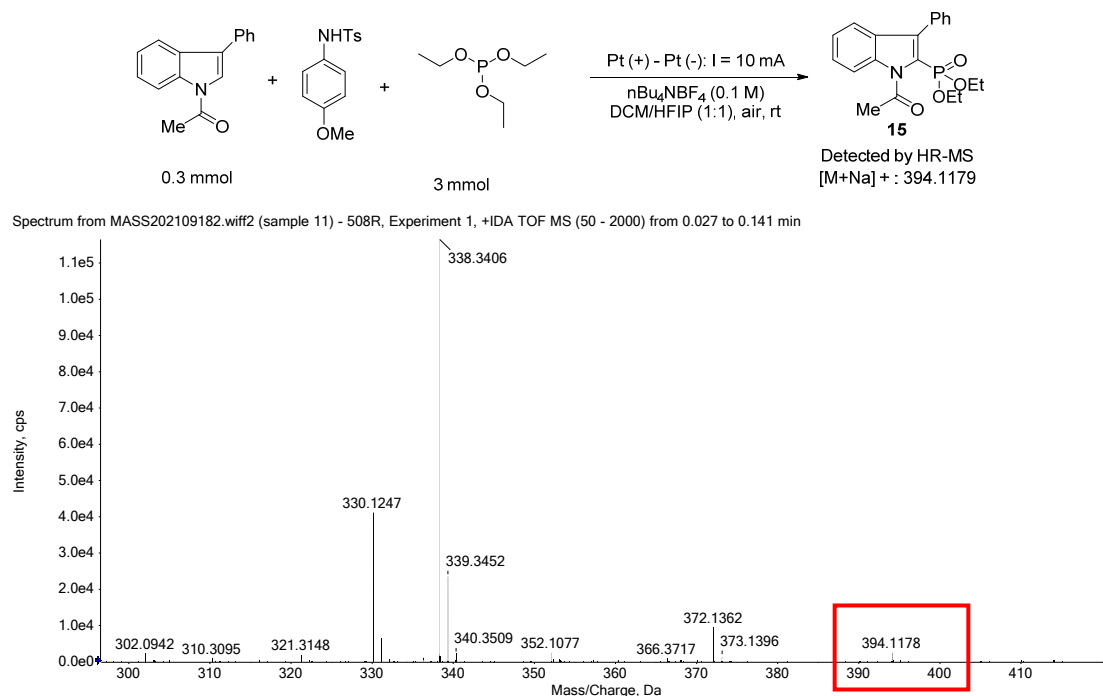
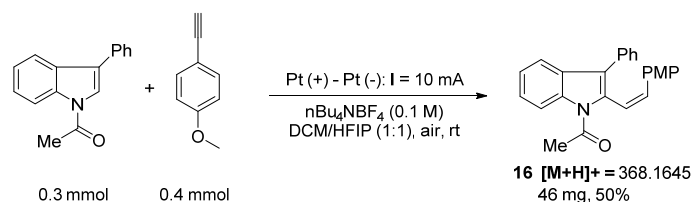


Figure S9. HRMS spectrum of **15**

Another radical trapping reaction was also carried out. When we mixed alkyne with indole under standard condition, a coupling product **16** was isolated in 50%. Compound **16** was characterized by ¹H-NMR, ¹³C-NMR and HRMS. The results indicated that an indole radical species may formed under the standard condition, then the radical was trapped by the alkyne to give compound **16**.



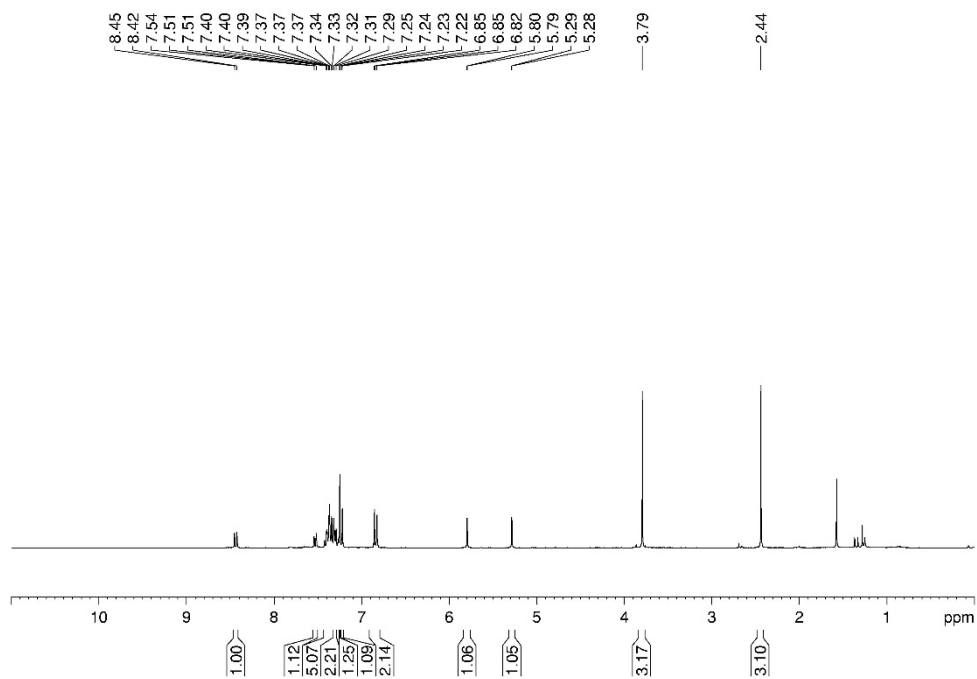


Figure S10. ^1H NMR spectrum of **16**

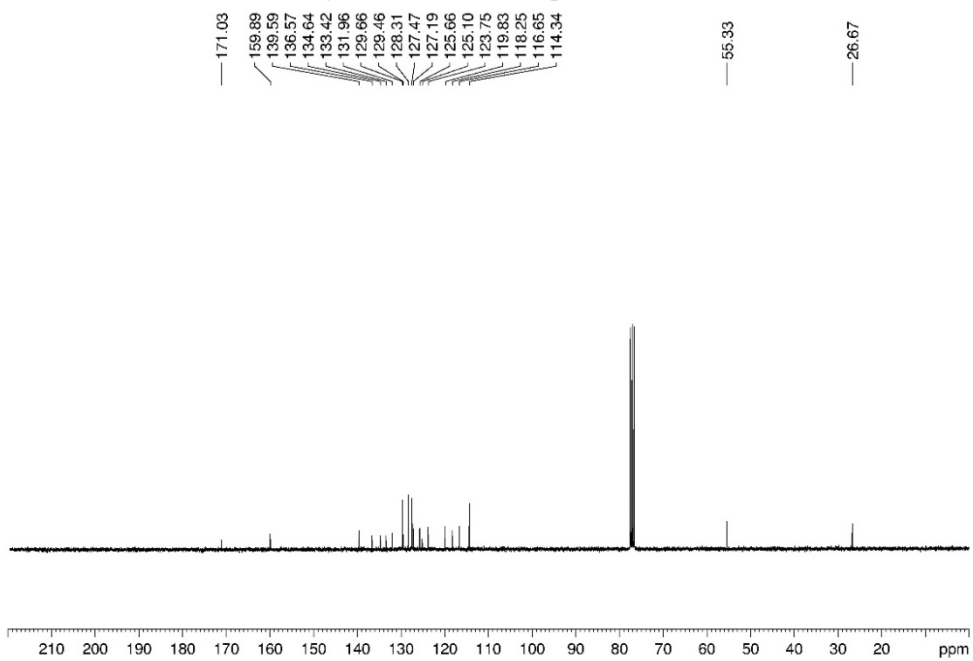


Figure S11. ^{13}C NMR spectrum of **16**

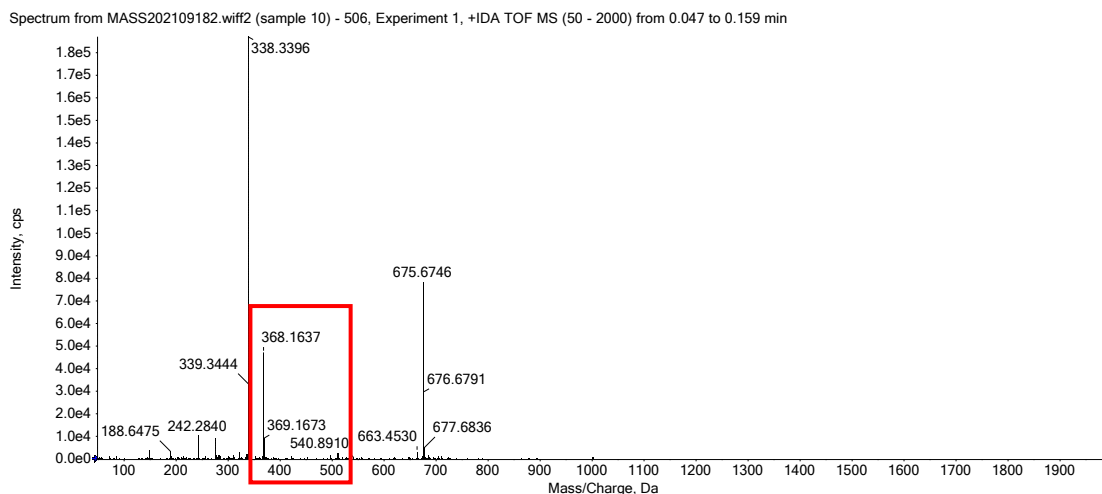
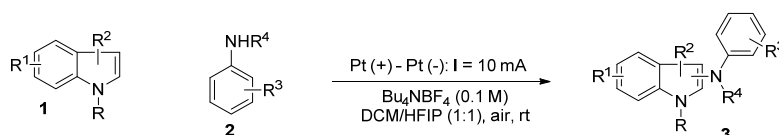


Figure S12. HRMS spectrum of of **16**

4. Procedure for C-N construction

4.1 General Procedure for C-N construction

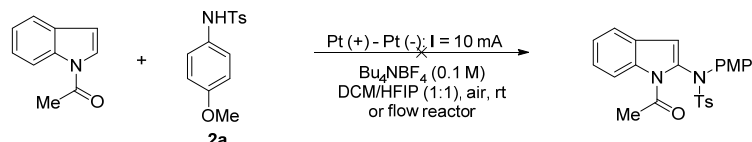


A solution of indols or other heteroarenes (**1**) (1.0mmol), aniline derivatives (**2**) (1.2 mmol) and Bu_4NBF_4 (0.1M) in HFIP/DCM = 1/1 (10.0mL, 0.1M of **1**) was stirred at rt under air in a self-made plastic reactor which was equipped with platinum plate electrodes (1.5 cm×1.5 cm×0.1 mm) as both the anode and cathode. The reaction mixture was stirred and electrolyzed at a constant current of 10 mA until the disappearance of **1** (TLC plate under UV lamp). Electrochemical continuous-flow reactor was applied for 0.2 mL/min at a constant current of 10 mA. The reaction mixture was directly concentrated *in vacuo*. The residue was purified by chromatography on silica gel, eluting with Petroleum ether (PE):EtOAc (EA), to afford pure product.

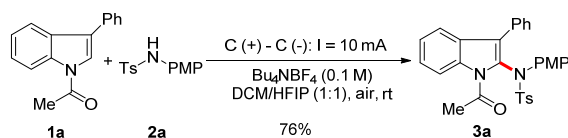
4.2 Other related reaction condition test for C-N construction

The reaction activity of indole substrate without any substituent was also tested. We tried acyl protected indole to react with **2a** under standard condition or using a flow reactor. However, the reaction goes to dark when the current was applied immediately and some insoluble brown solid was formed and stick to anode. No desired product was isolated.

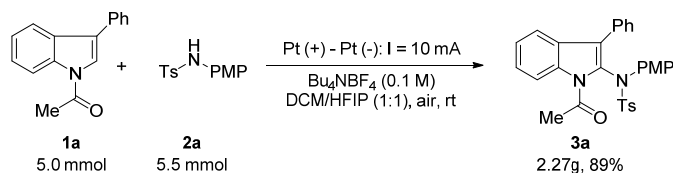
The reaction did not work under current condition:



The electro chemical amination were also carried out by using graphite anode and cathode under 10 mA constant current condition. Finally, we got 76% yield of desired product, which shows lower reaction efficiency comparing with the standard condition.



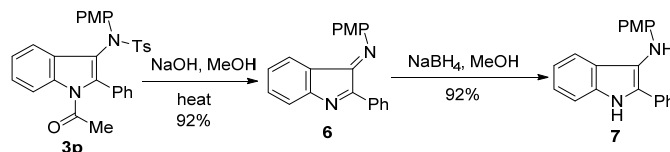
5. Procedure for Gram Scale Synthesis



A solution of 1-(3-phenyl-1H-indol-1-yl)ethan-1-one (**1a**) (1.18g, 5 mmol), N-(4-methoxyphenyl)-4-methylbenzenesulfonamide (**2a**) (1.52g, 5.5 mmol) and nBu₄NBF₄ (1.65g, 0.1M) in HFIP/DCM = 1/1 (50.0mL) was stirred at rt under air atmosphere in a sealed electrolytic cell which was equipped with platinum electrodes (30 mm×30 mm×0.1 mm) as both the anode and cathode. A balloon was connected to the electrolytic cell for collecting H₂. The reaction mixture was stirred and electrolyzed at a constant current of 10 mA until the disappearance of **1a** (detected by TLC plate). The reaction mixture was directly concentrated *in vacuo*. The residue was purified by chromatography on silica gel, eluting with Petroleum ether:EtOAc, to afford pure the title product as white solid (2.27g, 89%).

6. Product Transformation

6.1 Further transformation product of **3p**



(*Z*)-*N*-(4-Methoxyphenyl)-2-phenyl-3H-indol-3-imine (**6**)¹

A mixture of **3p** (0.2 mmol, 102 mg), NaOH (1.20 mmol, 48 mg) and MeOH (10.0 mL) was added to a 25 mL round-bottomed flask. The reaction was heated to 50 °C for 10 min. After cooling to room temperature, the reaction was diluted with water, extracted with DCM for 3 times. The combined organic layers were dried over Na₂SO₄, filtered and evaporated to give a residue, which was purified by flash column chromatography on neutron Al₂O₃ with DCM/MeOH = 25: 1 as eluent to give a brown solid in 92% yield (57 mg). NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ): 8.42-8.39 (m, 2H), 7.52-7.49 (m, 4H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.05-6.87 (m, 6H), 3.88 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 166.9, 164.0, 158.1, 158.0, 143.5, 133.2, 132.5, 131.1, 130.3, 128.5, 127.0, 125.5, 121.8, 121.6, 120.2, 114.7, 55.7. Mass Spectrometry: HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₇N₂O⁺ ([*M* + H]⁺), 313.1335, found, 313.1337.

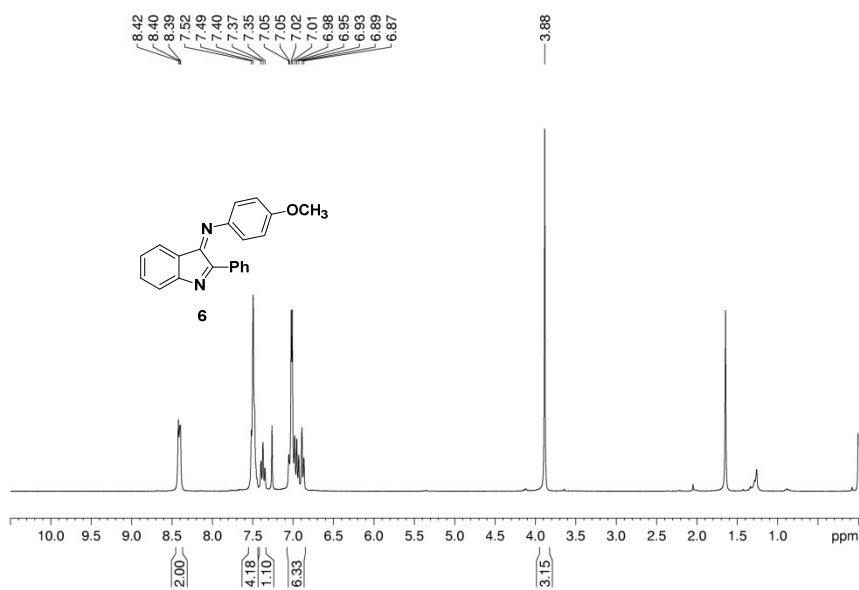


Figure S13. ¹H NMR spectrum of **6**

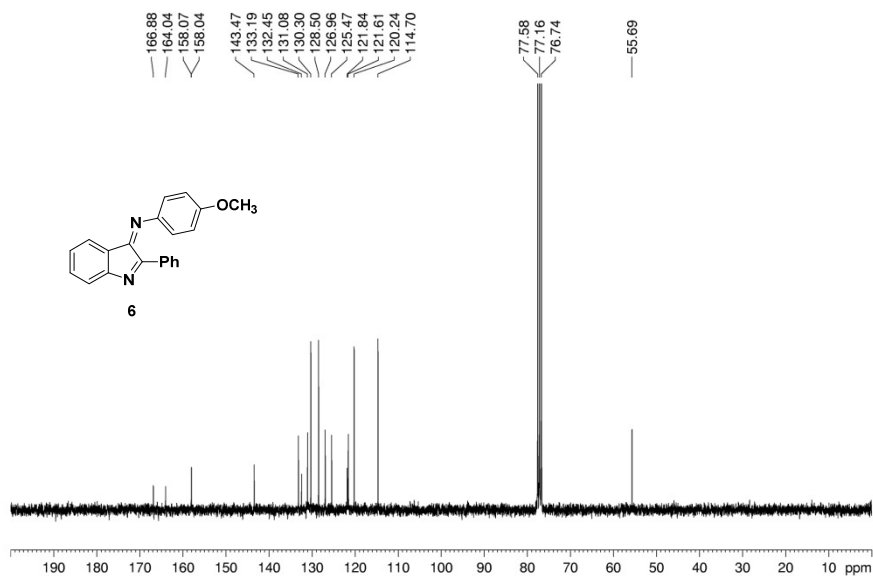


Figure S14. ¹³C NMR spectrum of **6**

N-(4-methoxyphenyl)-2-phenyl-1H-indol-3-amine (**7**)²

A mixture of **6** (0.16 mmol, 50 mg), NaBH₄ (0.32 mmol, 12mg) and MeOH (10.0 mL) was mixed in a 25 mL round-bottomed flask. The reaction was stirred at room temperature for 2h, along with the disappearance of compound **6** (monitored by TLC). The reaction was concentrated to give a residue which was suspended in H₂O and extracted with EtOAc for 3 times. The combined organic layers were dried over Na₂SO₄, filtered and evaporated to give a compound **7** as a yellow solid in 92% yield (46 mg). NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ): 8.13 (s, 1H), 7.70 (d, *J* = 7.2 Hz, 1H), 7.46-7.31 (m, 5H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.1 Hz, 1H), 6.81 (d, *J* = 8.8 Hz, 2H), 6.71 (d, *J* = 8.8 Hz, 1H), 5.12 (s, 1H), 3.78 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 152.6, 141.9, 135.0, 131.8, 129.1, 127.8, 126.7, 123.0, 120.1, 119.2, 116.3, 115.0, 111.3, 55.9. Mass Spectrometry: HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₉N₂O⁺ ([M + H]⁺), 315.1492, found, 315.1496.

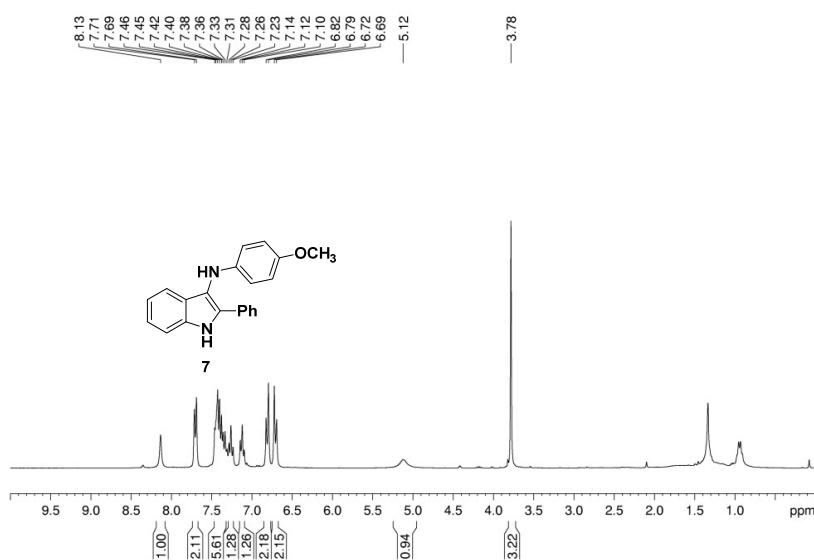


Figure S15. ¹H NMR spectrum of **7**

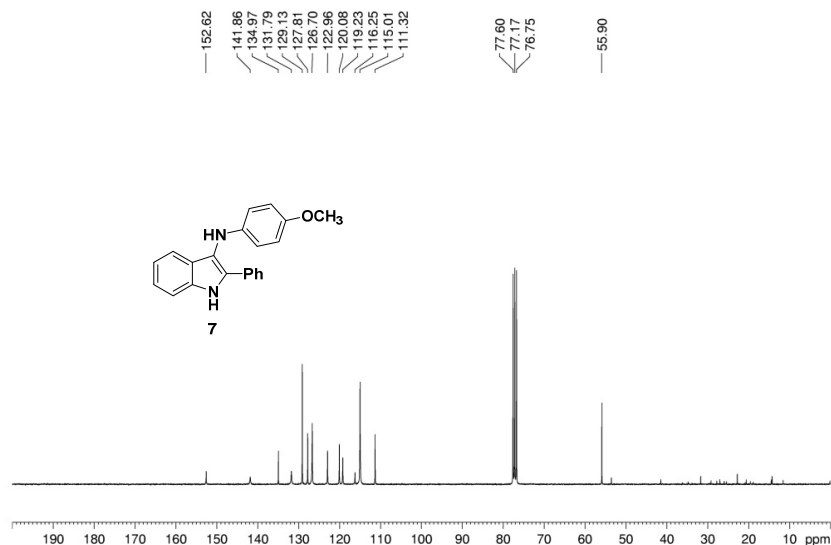
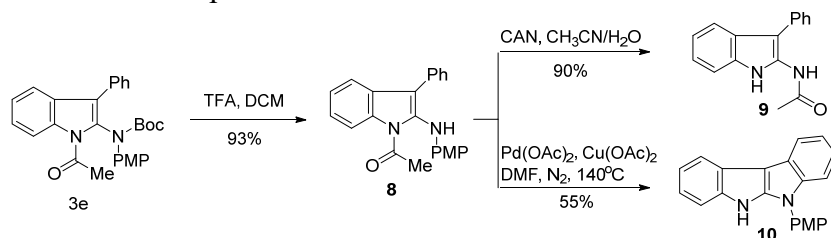


Figure S16. ¹³C NMR spectrum of 7

6.2 Further transformation product of 3e



1-(2-((4-Methoxyphenyl)amino)-3-phenyl-1H-indol-1-yl)ethan-1-one (8)³

Boc-protected 3e (0.5 mmol, 228 mg) was dissolved in DCM (5 mL). TFA (3.0 mL) was then added to the reaction mixture and the reaction was stirred at room temperature for 30 min. Later, the reaction mixture was concentrated to give a residue which was dissolved in DCM again and washed with a saturated aqueous solution of Na₂CO₃ and dried over Na₂SO₄. The organic phase was concentrated to give a brown solid in 93% yield (165 mg). NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C, δ): 8.16 (d, *J* = 7.3 Hz, 1H), 7.62-7.59 (m, 1H), 7.40 (d, *J* = 7.1 Hz, 2H), 7.35-7.24 (m, 5H), 6.73-6.62 (m, 5H), 3.73 (s, 3H), 2.71 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 171.7, 154.4, 137.9, 135.2, 133.7, 132.8, 129.2, 129.0, 128.7, 127.1, 124.0, 123.9, 118.7, 117.6, 115.8, 114.8, 111.9, 55.7, 27.0. Mass Spectrometry: HRMS (ESI-TOF) (*m/z*): calcd for C₂₃H₂₁N₂O₂⁺ ([M + H]⁺), 357.1598, found, 357.1601.

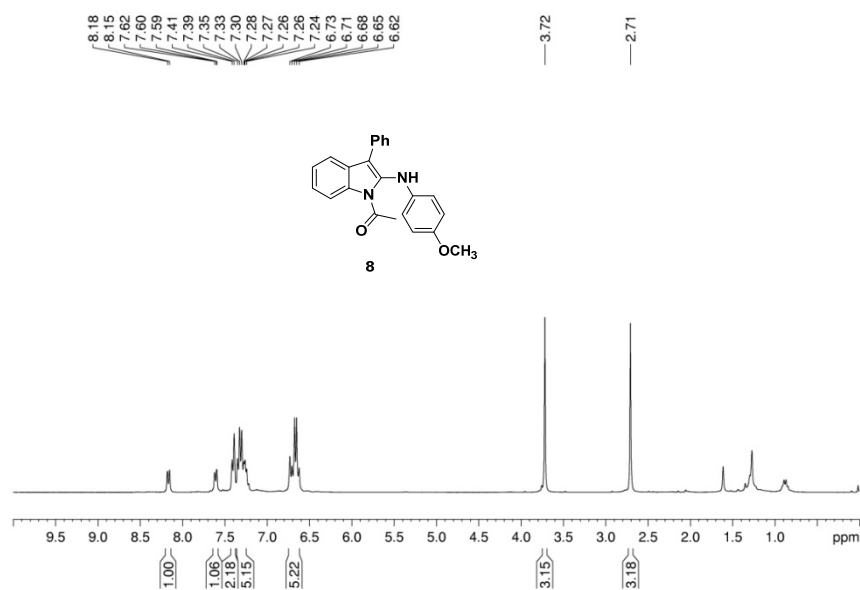


Figure S17. ¹H NMR spectrum of **8**

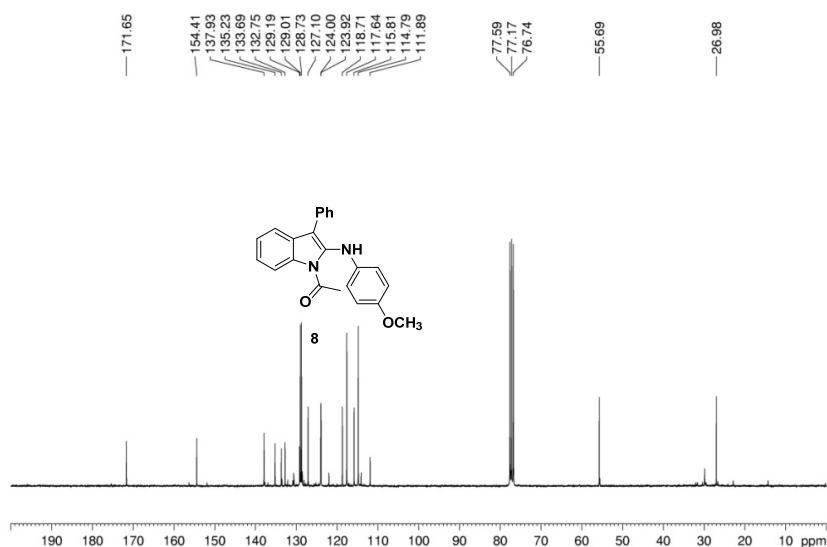


Figure S18. ¹³C NMR spectrum of **8**

***N*-(3-Phenyl-1*H*-indol-2-yl)acetamide (**9**)⁴**

To a solution of compound **8** (0.2 mmol, 71 mg) in MeCN/H₂O = 5/1 (15.0 mL) was added ceric ammonium nitrate (CAN) (0.6 mmol, 322 mg). The reaction mixture was stirred at 0 °C for 2h. The reaction solution was then quenched with adding 1 M HCl solution. The mixture was concentrated and dissolved in DCM. The mixture was extracted by DCM for 3 times. The organic layer was washed with a saturated aqueous solution of NaHCO₃ and dried over Na₂SO₄. The pure product **9** was obtained by flash column chromatography on silica gel (PE/EtOAc = 2:1 as eluent) in 90% yield (45 mg). NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C, δ): 8.70 (s, 1H), 7.89 (d, *J* = 7.5 Hz, 2H), 7.61-7.51 (m, 2H), 7.51-7.40 (m, 4H), 7.35 (d, *J* = 7.7 Hz, 1H),

5.39 (s,1H), 2.08(s,3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 195.7, 174.8, 155.0, 137.9, 137.7, 136.8, 133.5, 131.9, 130.6, 130.3, 128.5, 128.4, 26.4. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{15}\text{N}_2\text{O}^+$ ($[\text{M} + \text{H}]^+$), 251.1179, found, 251.1179.

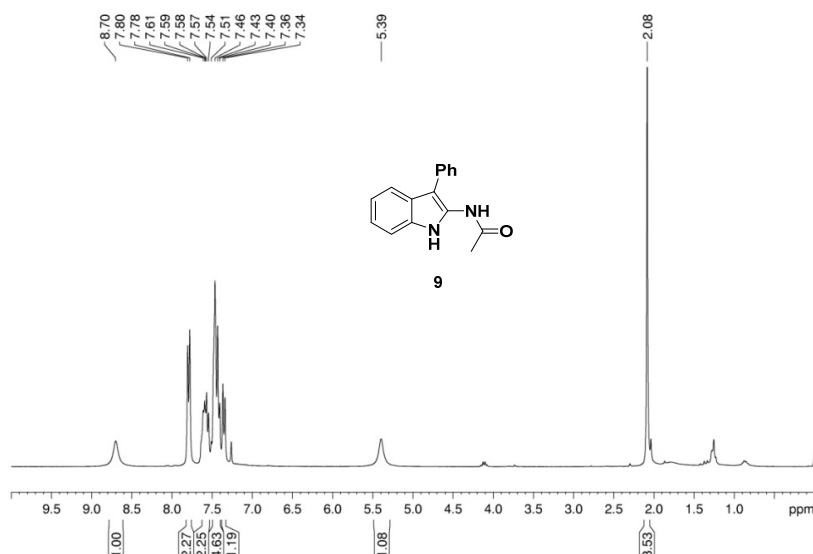


Figure S17. ^1H NMR spectrum of **9**

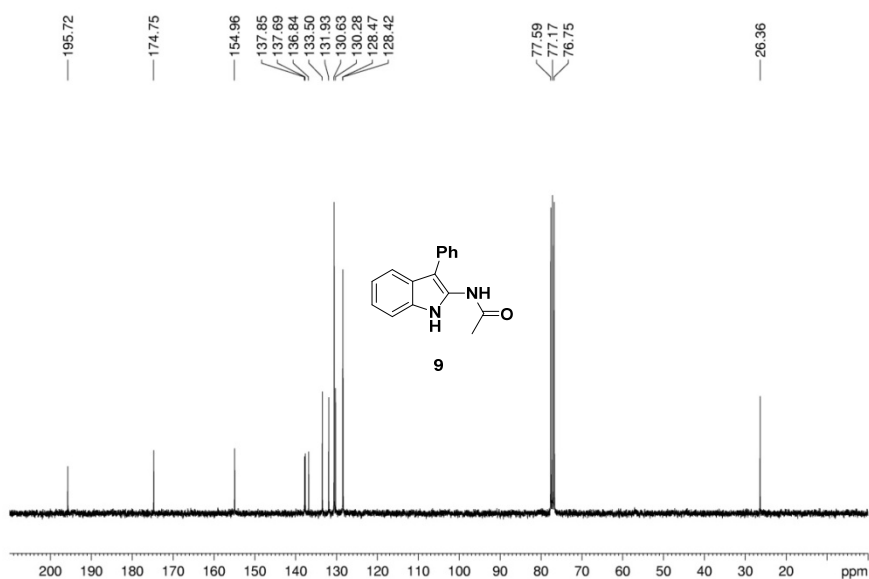


Figure S20. ^{13}C NMR spectrum of **9**

5-(4-Methoxyphenyl)-5,10-dihydroindolo[3,2-*b*]indole (**10**)⁵

The solid of compound **8** (71 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (9.0 mg, 0.04 mmol), and $\text{Cu}(\text{OAc})_2$ (180 mg, 1.0 mmol) were mixed in DMF (10 mL). The mixture was degassed via Freeze-Pump-Thaw. The solution was heated at 140 °C for 3h. After cooling to rt, the reaction mixture was filtered over a pad of celite. The organic phase was concentrated and purified by silica-gel column chromatography to afford compound **10** as a light yellow solid (31 mg, 55%). NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 8.02 (s, 1H), 7.93 (t, $J = 6.9$ Hz, 2H), 7.53 (d, $J = 8.7$ Hz,

2H), 7.43-7.37 (m, 2H), 7.33-7.26 (m, 3H), 7.20-7.10 (m, 4H), 3.91 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 158.9, 144.3, 139.5, 138.1, 130.0, 126.5, 123.0, 122.6, 121.0, 120.9, 120.3, 120.3, 118.7, 118.7, 115.5, 111.6, 110.4, 101.5, 55.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{21}\text{H}_{17}\text{N}_2\text{O}^+$ ($[\text{M} + \text{H}]^+$), 313.1335, found, 313.1332.

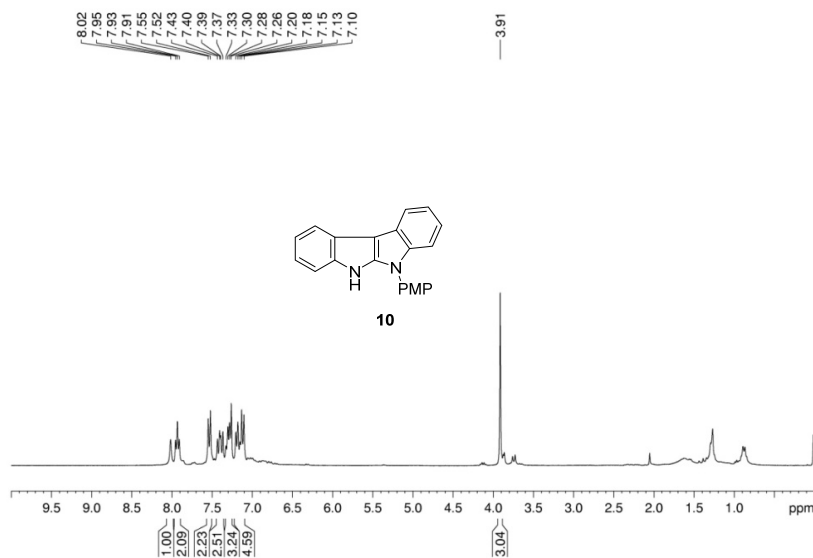


Figure S21. ^1H NMR spectrum of **10**

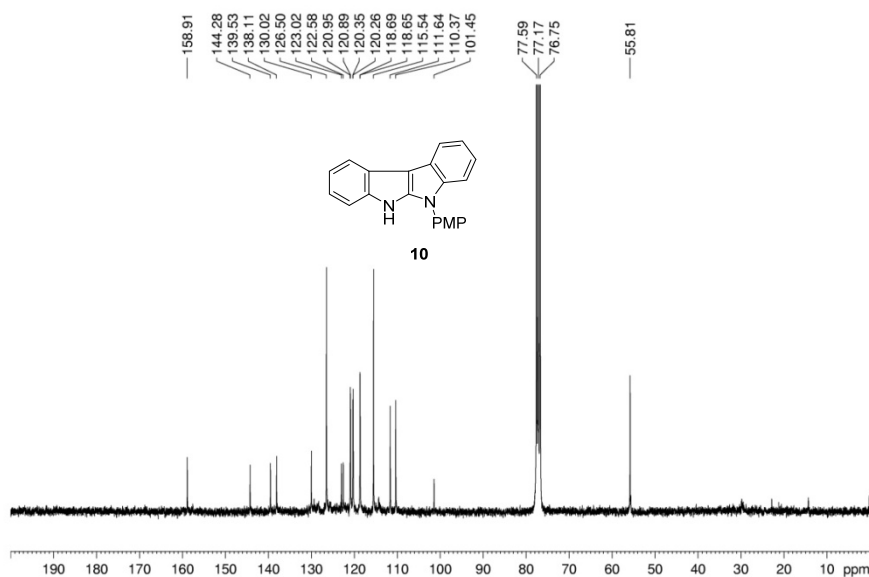
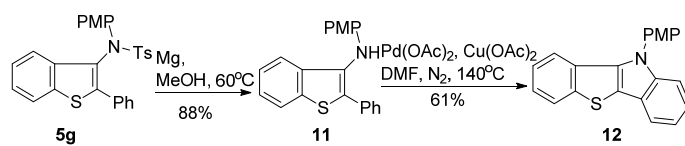


Figure S22. ^{13}C NMR spectrum of **10**

6.3 Further transformation product of **5g**



***N*-(4-Methoxyphenyl)-2-phenylbenzo[*b*]thiophen-3-amine (**11**)**⁷

To a solution of 5g(0.2 mmol, 97 mg) in MeOH (15 mL, 0.013 M) was added Mg (6 mmol, 30 eq.). The reaction was stirring at 60 °C for 3h and the reaction was monitored by TLC plate. After cooling to rt, the resulting mixture was filtered through a pad of Celite which was washed with DCM. The combined organic layer was washed with brine, dried over anhydrous MgSO₄, and concentrated in vacuo to give a residue which was purified by column chromatography on silica gel to afford the corresponding product **11** as a brown solid in 88% yield(58 mg).NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C,δ): 7.84 (d, *J*= 7.5 Hz, 1H), 7.63 (d, *J*= 6.9 Hz, 2H), 7.54 (d, *J*= 7.3 Hz, 1H), 7.44-7.28 (m, 5H), 6.83-6.71 (m, 4H), 5.46 (s, 1H), 3.78 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 153.5, 140.0, 137.2, 137.1, 133.5, 132.3, 130.6, 129.1, 128.6, 128.2, 124.9, 124.3, 122.8, 122.7, 116.6, 114.9, 55.7. Mass Spectrometry: HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₈NOS⁺ ([*M* +*H*]⁺), 332.1104, found, 332.1107.

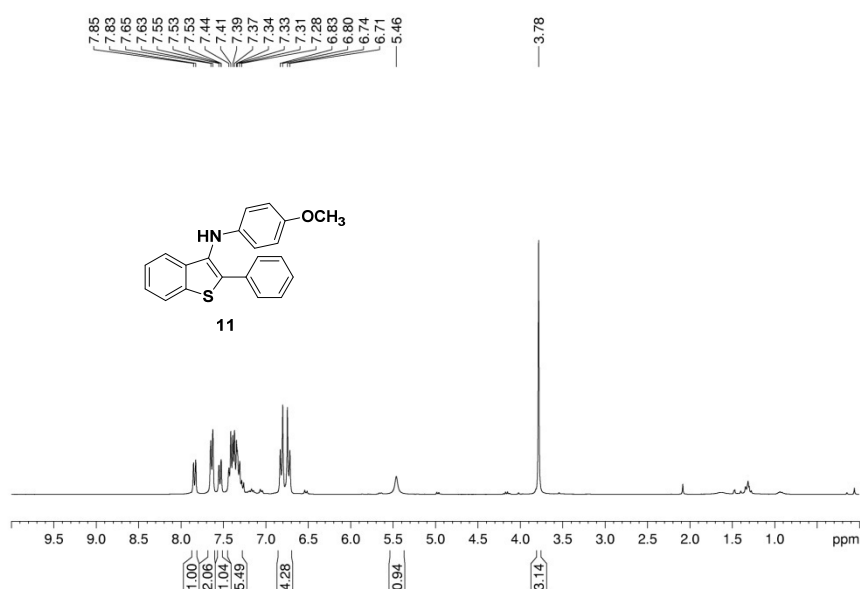


Figure S23. ¹H NMR spectrum of **11**

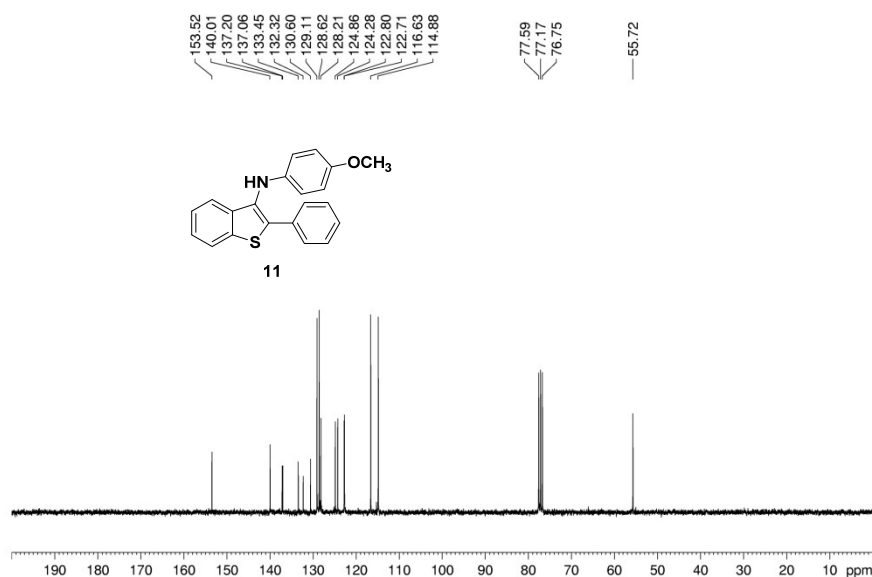


Figure S24. ¹³C NMR spectrum of **11**

10-(4-Methoxyphenyl)-10H-benzo[4,5]thieno[3,2-*b*]indole (12**)**⁵⁻⁶

The solid of compound **9** (33 mg, 0.1 mmol), Pd(OAc)₂ (4.5 mg, 0.02 mmol), and Cu(OAc)₂ (90 mg, 0.5 mmol) were mixed in DMF (5 mL). The mixture was degassed via Freeze-Pump-Thaw. The solution was heated at 140 °C for 3h. After cooling to rt, the reaction mixture was filtered over a pad of celite. The organic phase was concentrated and purified by silica-gel column chromatography to afford compound **12** as a light yellow solid (20 mg, 61%). NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ): 7.90 (d, *J* = 7.8 Hz, 1H), 7.85-7.83 (m, 1H), 7.50 (d, *J* = 8.7 Hz, 2H), 7.32-7.20 (m, 6H), 7.14 (d, *J* = 8.7 Hz, 2H), 3.96 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 159.6, 143.3, 143.0, 138.1, 130.7, 129.2, 127.0, 124.5, 124.1, 124.1, 123.4, 122.1, 120.6, 120.4, 119.4, 116.3, 115.0, 111.1, 55.8. Mass Spectrometry: HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₆NOS⁺ ([M + H]⁺), 330.0947, found, 330.0947.

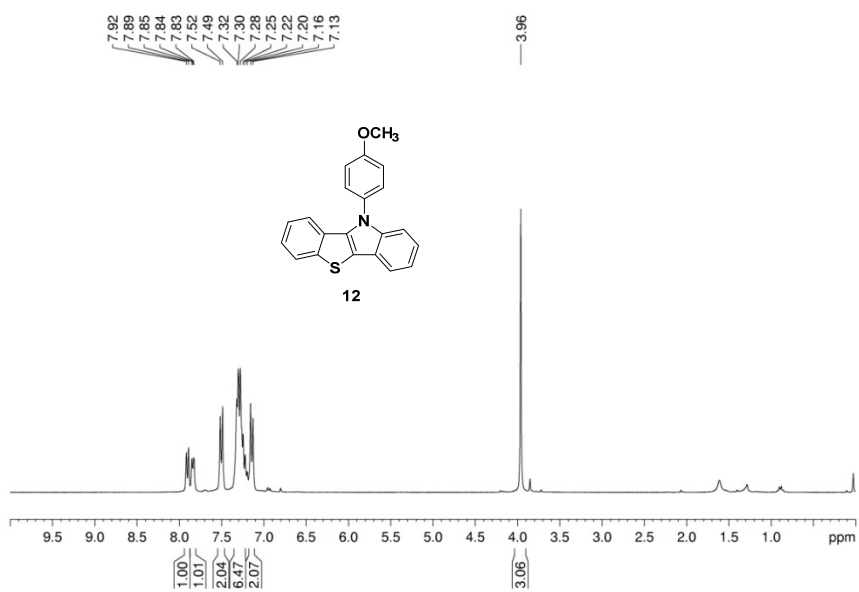


Figure S25. ¹H NMR spectrum of **12**

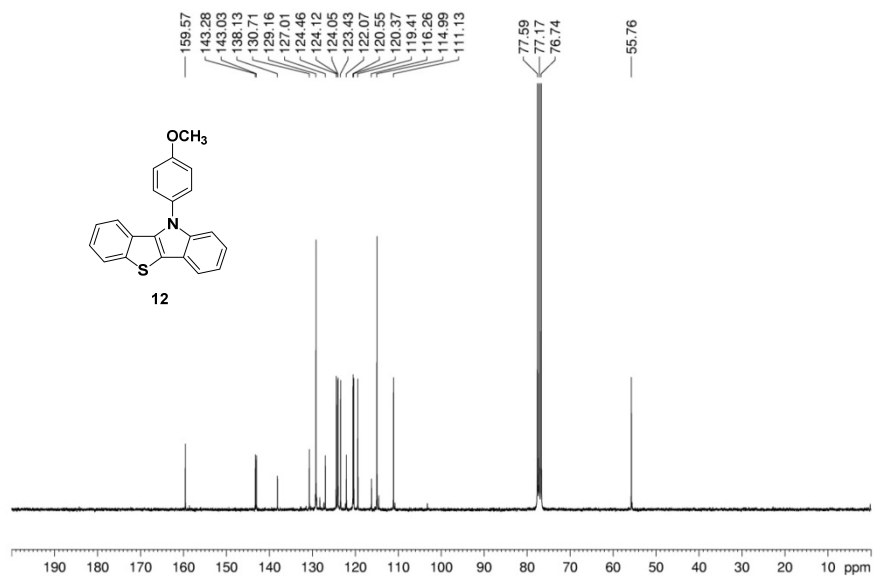


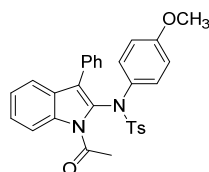
Figure S26. ¹³C NMR spectrum of **12**

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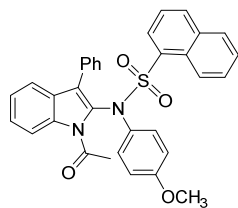
8. Characterization of Product

N-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (**3a**)



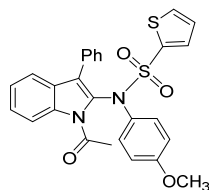
White solid (490 mg, 96 % yield, $R_f = 0.68$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 8.28 (d, $J=8.4\text{Hz}$, 1H), 7.49-7.42 (m, 2H), 7.36 (d, $J=8.3\text{Hz}$, 2H), 7.30-7.25 (m, 2H), 7.23-7.18 (m, 2H), 7.14-7.09 (m, 2H), 7.06-7.03 (m, 2H), 6.95 (d, $J=6.8\text{Hz}$, 2H), 6.81-6.78 (m, 2H), 3.78 (s, 3H), 2.86 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.5, 156.9, 143.9, 136.6, 136.0, 135.0, 131.5, 129.8, 129.3, 128.9, 128.4, 127.9, 127.7, 126.5, 123.6, 122.8, 122.5, 120.6, 116.3, 114.6, 55.6, 27.0, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{NaN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{Na}]^+$), 533.1505, found, 533.1515.

N-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)naphthalene-1-sulfonamide (**3b**)



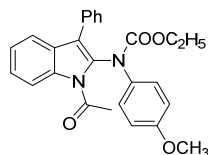
White solid (464 mg, 85 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.29 (d, $J = 8.4$ Hz, 1H), 8.10 (s, 1H), 7.77 (t, $J = 8.7$ Hz, 2H), 7.64-7.52 (m, 3H), 7.45 (d, $J = 7.9$ Hz, 3H), 7.34-7.26 (m, 3H), 6.97-6.95 (m, 2H), 6.85-6.82 (m, 5H), 3.79 (s, 3H), 2.91 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.5, 157.0, 136.6, 136.1, 135.0, 135.0, 131.8, 131.1, 129.7, 129.5, 129.1, 128.9, 128.8, 128.2, 127.9, 127.8, 127.6, 127.3, 126.5, 123.6, 123.0, 122.6, 122.5, 120.7, 116.2, 114.5, 55.6, 27.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{33}\text{H}_{27}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 547.1686, found, 547.1685.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)thiophene-2-sulfonamide (3c)**



White solid (436 mg, 87 % yield, $R_f = 0.57$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.27 (d, $J = 8.3$ Hz, 1H), 7.48-7.43 (m, 2H), 7.38-7.37 (m, 1H), 7.33-7.26 (m, 3H), 7.23-7.15 (m, 3H), 7.09 (d, $J = 6.4$ Hz, 3H), 6.83 (d, $J = 9.1$ Hz, 2H), 6.75 (t, $J = 4.8$ Hz, 1H), 3.79 (s, 3H), 2.84 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.3, 157.1, 139.5, 135.4, 135.0, 134.0, 132.9, 131.4, 129.8, 128.5, 128.4, 128.0, 128.0, 127.2, 126.6, 123.7, 123.0, 122.9, 120.7, 116.3, 114.6, 55.6, 26.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{27}\text{H}_{23}\text{N}_2\text{O}_4\text{S}_2^+$ ($[\text{M} + \text{H}]^+$), 503.1094, found, 503.1094.

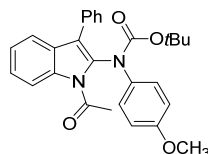
Ethyl (1-acetyl-3-phenyl-1*H*-indol-2-yl)(4-methoxyphenyl)carbamate (3d)



White solid (359 mg, 84 % yield, $R_f = 0.59$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.42 (d, $J = 8.3$ Hz, 1H), 7.65 (d, $J = 7.7$ Hz, 1H), 7.48-7.31 (m, 7H), 7.11 (d, $J = 7.9$ Hz, 2H), 6.76 (d, $J = 8.9$ Hz, 2H), 4.22 (q, $J = 6.8$ Hz, 2H), 3.73 (s, 3H), 2.68 (s, 3H), 1.17 (t, $J = 6.9$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 169.4, 157.1, 154.7, 134.8, 134.2, 131.7, 130.9, 128.9, 128.7, 128.5, 128.0, 127.7, 127.4, 126.1, 124.2, 123.9, 120.5, 120.5, 120.1, 116.7, 114.1, 62.9, 55.4, 25.9, 14.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z):

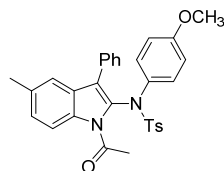
calcd for $C_{26}H_{25}N_2O_4S^+$ ($[M + H]^+$), 429.1809, found, 429.1813.

Tert-butyl (1-acetyl-3-phenyl-1*H*-indol-2-yl)(4-methoxyphenyl)carbamate (3e)



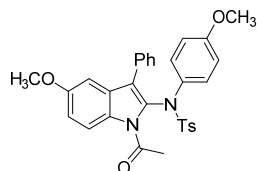
White solid (396 mg, 83 % yield, $R_f = 0.74$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25°C, δ): 8.45 (d, $J = 8.3$ Hz, 1H), 7.66 (d, $J = 7.6$ Hz, 1H), 7.50-7.30 (m, 7H), 7.12 (d, $J = 7.5$ Hz, 2H), 6.76 (d, $J = 8.8$ Hz, 2H), 3.74 (s, 3H), 2.66 (s, 3H), 1.32 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 169.6, 156.8, 153.1, 134.9, 134.4, 132.0, 128.9, 128.7, 127.9, 127.6, 126.0, 123.9, 120.2, 120.0, 116.8, 114.1, 82.6, 55.5, 28.0, 25.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{28}H_{28}N_2NaO_4^+$ ($[M + Na]^+$), 479.1941, found, 479.1955.

***N*-(1-acetyl-5-methyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3f)**



White solid (471 mg, 90 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.22 (d, $J = 8.6$ Hz, 1H), 7.38 (d, $J = 8.0$ Hz, 2H), 7.30-7.26 (m, 5H), 7.15 (t, $J = 7.5$ Hz, 2H), 7.07 (d, $J = 7.5$ Hz, 2H), 6.95 (d, $J = 8.2$ Hz, 2H), 6.83 (d, $J = 9.0$ Hz, 2H), 3.78 (s, 3H), 2.88 (s, 3H), 2.42 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 170.2, 156.7, 143.8, 136.5, 136.0, 133.2, 133.2, 131.5, 129.7, 129.2, 128.7, 128.3, 127.9, 127.8, 127.7, 127.6, 122.5, 122.3, 120.2, 116.1, 114.5, 55.5, 26.8, 21.5, 21.4. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{29}N_2O_4S^+$ ($[M + H]^+$), 525.1843, found, 525.1836.

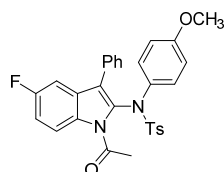
***N*-(1-acetyl-5-methoxy-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3g)**



White solid (496 mg, 92 % yield, $R_f = 0.60$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25°C, δ): 8.26 (d, $J = 9.2$ Hz, 1H), 7.34 (d, $J = 8.1$ Hz, 2H), 7.26-7.19 (m, 3H), 7.15-7.04 (m, 5H), 6.93 (d, $J = 9.3$ Hz, 2H), 6.82 (d, $J = 9.0$ Hz, 2H), 3.78 (s, 6H), 2.83 (s, 3H), 2.31 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 170.1, 156.8, 156.5, 143.9, 136.5, 136.0, 131.5, 129.8, 129.6, 129.3, 129.0, 128.7, 128.5, 127.8, 127.7, 122.5, 122.3, 117.7, 115.3, 114.6, 102.7, 55.8, 55.6,

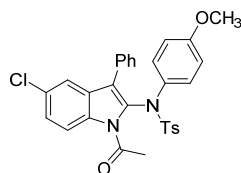
26.7, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₁H₂₉N₂O₅S⁺ ([M +H]⁺), 541.1792, found, 541.1802.

***N*-(1-acetyl-5-fluoro-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3h)**



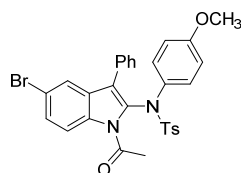
White solid (475 mg, 90 % yield, R_f = 0.62 (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ): 8.34 (q, *J*=9.0, 4.6 Hz, 1H), 7.33 (d, *J*=8.3 Hz, 2H), 7.24 (d, *J*= 9.1 Hz, 2H), 7.20-7.08 (m, 5H), 7.01 (d, *J*= 7.2 Hz, 2H), 6.93 (d, *J*= 8.2 Hz, 2H), 6.83 (d, *J*= 9.2 Hz, 2H), 3.78 (s, 3H), 2.85 (s, 3H), 2.32 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 170.3, 159.7 (d, *J*=900.8 Hz), 156.8, 144.1, 136.3, 135.9, 131.5, 131.1, 129.8, 129.5, 129.4, 128.9, 128.8, 128.6, 127.9, 127.8, 122.1, 118.1 (d, *J*=32.4 Hz), 114.7, 114.6, 114.3, 105.9 (d, *J*=90.4 Hz), 55.6, 26.7, 21.6. ¹⁹F NMR (282 MHz, CDCl₃, 25 °C, δ): -118.7 (td, *J* = 8.6, 4.3 HZ). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₀H₂₆FN₂O₄S⁺ ([M +H]⁺), 529.1592, found, 529.1588.

***N*-(1-acetyl-5-chloro-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3i)**



White solid (495 mg, 91 % yield, R_f = 0.68 (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C, δ): 8.28 (d, *J*=8.9 Hz, 1H), 7.44-7.37 (m, 2H), 7.33 (d, *J*=8.3 Hz, 2H), 7.26-7.19 (m, 3H), 7.11 (t, *J*=7.7 Hz, 2H), 7.01-6.98 (m, 2H), 6.94 (d, *J*=8.2 Hz, 2H), 6.83-6.80 (m, 2H), 3.78 (s, 3H), 2.85 (s, 3H), 2.32 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 170.2, 156.8, 144.1, 136.1, 135.7, 133.2, 130.7, 129.6, 129.5, 129.3, 129.3, 129.0, 128.5, 127.8, 127.7, 126.5, 122.3, 121.6, 119.9, 117.7, 114.6, 55.5, 26.7, 21.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₀H₂₆ClN₂O₄S⁺ ([M +H]⁺), 545.1296, found, 545.1290.

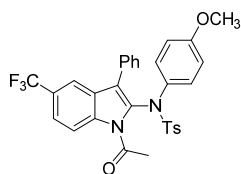
***N*-(1-acetyl-5-bromo-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3j)**



White solid (495 mg, 91 % yield, R_f = 0.68 (petroleum ether/ethyl acetate = 5 : 1

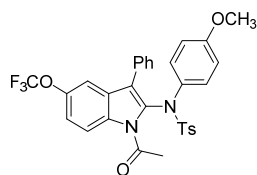
(v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ):8.22 (d, $J=8.9$ Hz, 1H), 7.58-7.51 (m,2H),7.33 (d, $J=8.3$ Hz, 2H), 7.26-7.19 (m, 3H), 7.11 (t, $J=7.7$ Hz, 2H), 7.00-6.93 (m, 4H),6.83-6.80 (m, 2H),3.78 (s, 3H), 2.85 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ):170.3, 156.9, 144.1, 136.3, 135.7, 133.7, 130.8, 129.6, 129.6, 129.4, 129.3, 128.6, 127.9, 127.8, 123.0, 122.4, 121.6, 118.0, 117.0, 114.7, 55.6, 26.8, 21.6.Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{BrN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 589.0791, found, 589.0800.

***N*-(1-acetyl-3-phenyl-5-(trifluoromethyl)-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3k)**



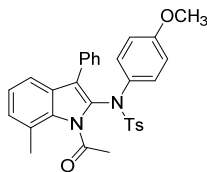
White solid (497 mg, 86 % yield, $R_f = 0.57$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ):8.44 (d, $J=8.8$ Hz, 1H), 7.77 (s,1H),7.70 (d, $J=8.9$ Hz, 1H),7.37 (d, $J=8.3$ Hz, 2H),7.27-7.24 (m, 3H), 7.16 (t, $J=7.7$ Hz, 2H), 7.04-6.96 (m, 4H),6.85-6.82 (m, 2H),3.78 (s, 3H), 2.93 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ):170.5, 157.0, 144.2, 136.4, 136.1, 135.6, 130.6, 130.4, 129.6, 129.4, 128.6, 128.0, 127.8, 127.5, 126.4, 126.0, 125.6, 122.9 (q, $J = 3.4$ Hz), 122.8, 122.6, 122.2, 117.9 (q, $J = 4.1$ Hz), 116.7, 114.6, 55.5, 26.8, 21.5. ^{19}F NMR (282 MHz, CDCl_3 , 25°C , δ):-61.2 (s).Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{31}\text{H}_{26}\text{F}_3\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 579.1560, found, 579.1525.

***N*-(1-acetyl-3-phenyl-5-(trifluoromethoxy)-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3l)**



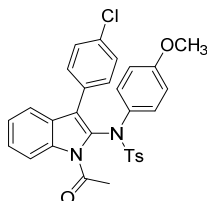
White solid (493 mg, 83 % yield, $R_f = 0.54$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ):8.41 (d, $J= 9.2$ Hz, 1H), 7.38-7.33 (m, 4H), 7.29-7.22 (m, 3H), 7.14 (t, $J= 7.7$ Hz, 2H), 7.03 (d, $J= 7.2$ Hz, 2H), 6.96 (d, $J= 8.2$ Hz, 2H), 6.85 (d, $J= 9.2$ Hz, 2H),3.79 (s, 3H), 2.90 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ):170.3, 156.9, 145.5 (d, $J = 1.5$ Hz), 144.2, 136.2, 135.7, 133.2, 130.7, 130.1, 129.5, 129.4, 128.6, 128.5, 128.0, 127.7, 122.4, 122.2, 122.1, 119.9, 119.0, 117.8, 114.7, 112.9, 55.5, 26.7, 21.5. ^{19}F NMR (282 MHz, CDCl_3 , 25°C , δ):-57.9(s).Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{31}\text{H}_{26}\text{F}_3\text{N}_2\text{O}_5\text{S}^+$ ($[\text{M} + \text{H}]^+$), 595.1509, found, 595.1525.

***N*-(1-acetyl-7-methyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3m)**



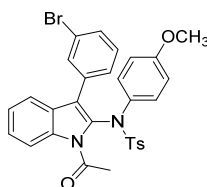
White solid (461 mg, 88 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 7.40-7.34 (m, 3H), 7.26-7.18 (m, 9H), 6.98 (d, $J=8.0\text{Hz}$, 2H), 6.76 (d, $J=8.9\text{Hz}$, 2H), 3.76 (s, 3H), 2.77 (s, 3H), 2.49 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 173.1, 157.5, 143.8, 136.6, 135.5, 134.8, 132.1, 130.1, 130.0, 129.2, 128.4, 128.4, 128.1, 127.6, 124.1, 123.3, 120.9, 118.6, 114.4, 55.6, 28.6, 21.7, 20.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 525.1843, found, 525.1840.

***N*-(1-acetyl-3-(4-chlorophenyl)-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3n)**



White solid (479 mg, 88 % yield, $R_f = 0.68$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.36 (d, $J= 8.3$ Hz, 1H), 7.50-7.44 (m, 2H), 7.38 (d, $J= 8.2$ Hz, 2H), 7.34-7.26 (m, 3H), 7.07-6.98 (m, 6H), 6.87 (d, $J= 9.1$ Hz, 2H), 3.79 (s, 3H), 2.87 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.3, 156.7, 144.3, 136.6, 136.0, 135.1, 133.9, 130.8, 129.9, 129.3, 128.8, 128.5, 127.4, 127.2, 126.7, 123.8, 121.9, 121.0, 120.2, 116.6, 114.8, 55.5, 26.8, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{ClN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 545.1296, found, 595.1306.

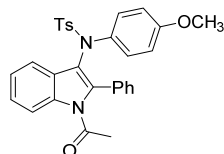
***N*-(1-acetyl-3-(3-bromophenyl)-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3o)**



White solid (479 mg, 85 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.41 (d, $J=8.4$ Hz, 1H), 7.49-7.44 (m, 4H), 7.31-7.25 (m, 4H), 7.08-7.02 (m, 3H), 6.94 (t, $J=7.7$ Hz, 1H), 6.87 (t, $J=8.8$ Hz, 3H), 3.78 (s, 3H), 2.91 (s, 3H), 2.36 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.5, 156.9, 144.3, 136.3, 136.1, 134.9, 133.4, 132.5, 130.4, 129.7, 129.5, 129.3, 128.2, 127.5, 127.2, 126.6, 123.7, 122.5, 122.3, 120.3, 120.1,

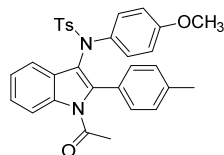
116.3, 114.7, 55.5, 26.8, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{26}BrN_2O_4S^+$ ($[M + H]^+$), 589.0791, found, 589.0800.

***N*-(1-acetyl-2-phenyl-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3p)**



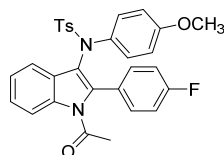
White solid (504 mg, 92 % yield, $R_f = 0.62$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.41 (d, $J = 8.4$ Hz, 1H), 7.49-7.44 (m, 4H), 7.31-7.25 (m, 4H), 7.08-7.02 (m, 3H), 6.94 (t, $J = 7.7$ Hz, 1H), 6.87 (t, $J = 8.8$ Hz, 3H), 3.78 (s, 3H), 2.91 (s, 3H), 2.36 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 171.3, 158.8, 143.8, 138.4, 137.7, 135.7, 133.6, 131.5, 130.7, 129.6, 129.5, 128.8, 128.2, 127.0, 125.8, 124.0, 123.5, 119.3, 116.7, 114.0, 55.4, 27.9, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{27}N_2O_4S^+$ ($[M + H]^+$), 511.1686, found, 511.1683.

***N*-(1-acetyl-2-(*p*-tolyl)-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3q)**



White solid (462 mg, 88 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.40 (d, $J = 8.3$ Hz, 1H), 7.51 (d, $J = 8.1$ Hz, 2H), 7.36-7.11 (m, 9H), 6.78 (d, $J = 8.9$ Hz, 2H), 6.60 (d, $J = 8.9$ Hz, 2H), 3.70 (s, 3H), 2.47 (s, 3H), 2.45 (s, 3H), 1.97 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 171.5, 158.8, 143.8, 139.6, 138.7, 137.8, 135.6, 133.7, 130.5, 129.6, 129.5, 128.4, 128.2, 127.0, 125.7, 123.9, 123.2, 119.2, 116.6, 114.0, 55.4, 27.9, 21.8, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{28}N_2NaO_4S^+$ ($[M + H]^+$), 547.1662, found, 547.1659.

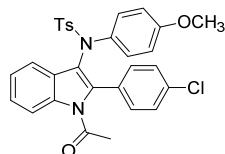
***N*-(1-acetyl-2-(4-fluorophenyl)-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3r)**



White solid (432 mg, 82 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: 1H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.39 (d, $J = 8.3$ Hz, 1H), 7.52 (d, $J = 8.1$ Hz, 2H), 7.36 (t, $J = 7.4$ Hz, 3H), 7.26-7.18 (m, 6H), 7.08 (d, $J = 7.7$ Hz, 1H), 6.77 (d, $J = 8.5$ Hz, 2H), 6.61 (d, $J = 8.6$ Hz, 2H), 3.72 (s, 3H), 2.47 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 171.0, 163.6 (d, $J = 248$ Hz), 158.9,

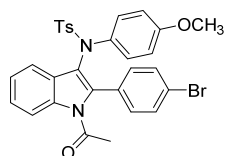
144.0, 137.7, 137.3, 135.7, 133.6, 132.7, 132.6, 129.6, 129.4, 128.3, 127.6, 127.5, 126.9, 125.99, 124.1, 123.9, 119.4, 116.7, 116.2, 115.9, 114.2, 55.5, 28.0, 21.8. ^{19}F NMR (282 MHz, CDCl_3 , 25 °C, δ): -110.6- -110.7 (m). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{FN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 529.1592, found, 529.1590.

***N*-(1-acetyl-2-(4-chlorophenyl)-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3s)**



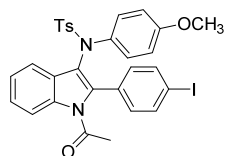
White solid (452 mg, 83 % yield, $R_f = 0.61$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.39 (d, $J=8.4$ Hz, 1H), 7.52-7.46 (m, 5H), 7.36 (t, $J=7.3$ Hz, 1H), 7.26-7.18 (m, 3H), 7.09 (d, $J=7.7$ Hz, 2H), 6.80 (d, $J=8.9$ Hz, 2H), 6.63 (d, $J=8.9$ Hz, 2H), 3.72 (s, 3H), 2.47 (s, 3H), 2.01 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.9, 158.9, 144.0, 137.6, 137.1, 135.9, 135.7, 133.5, 131.9, 130.0, 129.6, 129.3, 129.1, 128.2, 126.8, 126.1, 124.1, 123.9, 119.4, 116.6, 114.2, 55.5, 28.1, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{ClN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 545.1296, found, 545.1290.

***N*-(1-acetyl-2-(4-bromophenyl)-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3t)**



White solid (476 mg, 81 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.38 (d, $J=8.4$ Hz, 1H), 7.62 (d, $J=8.0$ Hz, 2H), 7.50 (d, $J=8.1$ Hz, 2H), 7.36 (t, $J=7.3$ Hz, 2H), 7.26-7.18 (m, 4H), 7.09 (d, $J=7.1$ Hz, 1H), 6.81 (d, $J=8.9$ Hz, 2H), 6.64 (d, $J=8.9$ Hz, 2H), 3.72 (s, 3H), 2.47 (s, 3H), 2.02 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.9, 158.9, 144.0, 137.6, 137.1, 135.7, 133.6, 132.2, 132.1, 130.5, 129.6, 129.3, 128.2, 126.8, 126.1, 124.1, 123.9, 119.5, 116.6, 114.2, 55.5, 28.1, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{BrN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 589.0791, found, 589.0784.

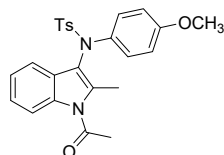
***N*-(1-acetyl-2-(4-iodophenyl)-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3u)**



White solid (535 mg, 84 % yield, $R_f = 0.60$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.36 (d, $J=8.4$ Hz, 1H), 7.82 (d, $J=8.1$ Hz, 2H), 7.49 (d, $J=8.1$ Hz, 2H), 7.36 (t, $J=7.3$ Hz, 1H), 7.25-7.18

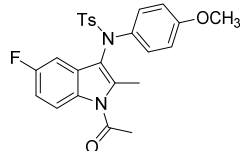
(m, 4H), 7.10 (d, $J=7.7$ Hz, 2H), 6.81 (d, $J=8.9$ Hz, 2H), 6.63 (d, $J=8.9$ Hz, 2H), 3.72 (s, 3H), 2.47 (s, 3H), 2.01 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.9, 158.8, 144.0, 138.0, 137.6, 135.8, 133.6, 132.3, 131.1, 129.6, 129.3, 128.2, 126.9, 126.1, 124.1, 123.8, 119.5, 116.6, 114.2, 99.9, 55.5, 28.1, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{IN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 637.0652, found, 637.0648.

***N*-(1-acetyl-2-methyl-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3v)**



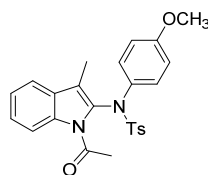
White solid (403 mg, 90 % yield, $R_f = 0.58$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.00 (d, $J=8.3$ Hz, 1H), 7.63 (d, $J=8.1$ Hz, 2H), 7.33 (d, $J=8.9$ Hz, 2H), 7.25 (d, $J=8.0$ Hz, 3H), 6.79 (d, $J=8.9$ Hz, 2H), 3.72 (s, 3H), 2.69 (s, 3H), 2.61 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.4, 158.5, 143.9, 138.0, 137.2, 134.5, 134.1, 129.7, 128.4, 127.8, 127.4, 124.4, 123.4, 122.5, 118.6, 115.5, 114.4, 55.4, 27.6, 21.6, 14.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{25}\text{H}_{25}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 449.1530, found, 449.1526.

***N*-(1-acetyl-5-fluoro-2-methyl-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3w)**



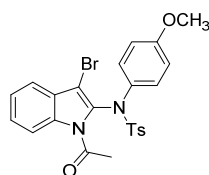
White solid (410 mg, 88 % yield, $R_f = 0.50$ (petroleum ether/ethyl acetate = 5 : 1 (v/v))); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.04 (dd, $J=9.1$, 4.3 Hz, 1H), 7.43 (d, $J=8.0$ Hz, 2H), 7.28 (t, $J=8.0$ Hz, 4H), 6.97-6.93 (m, 1H), 6.81 (d, $J=8.8$ Hz, 2H), 6.60 (d, $J=8.4$ Hz, 1H), 3.76 (s, 3H), 2.69 (s, 3H), 2.63 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.2, 159.5 (d, $J = 239.6$ Hz), 158.7, 144.3, 138.7, 137.8, 133.9, 131.0, 129.8, 128.5, 128.4, 127.9, 122.3 (d, $J = 3.7$ Hz), 117.1 (d, $J = 8.9$ Hz), 114.6, 112.1 (d, $J = 24.6$ Hz), 104.2 (d, $J = 24.6$ Hz), 55.5, 27.4, 21.7, 14.7. ^{19}F NMR (282 MHz, CDCl_3 , 25 °C, δ): -119.3 (q, $J = 4.0$ Hz). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{25}\text{H}_{24}\text{FN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 467.1435, found, 467.1430.

***N*-(1-acetyl-3-methyl-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3x)**



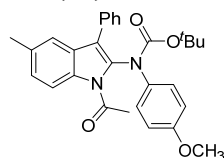
White solid (413 mg, 92 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 5: 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.29 (d, $J=8.3\text{Hz}$, 1H), 7.63 (d, $J=8.2\text{Hz}$, 2H), 7.44-7.35 (m, 4H), 7.28-7.23 (m, 3H), 6.81 (d, $J=9.1\text{Hz}$, 2H), 3.75 (s, 3H), 2.75 (s, 3H), 2.40 (s, 3H), 1.66 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 169.9, 157.5, 144.8, 136.8, 135.1, 133.9, 129.9, 129.7, 128.3, 128.1, 126.5, 123.9, 123.3, 119.2, 118.4, 116.8, 114.6, 55.6, 26.8, 21.8, 9.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{25}\text{H}_{25}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 449.1530, found, 449.1525.

***N*-(1-acetyl-3-bromo-1*H*-indol-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (3y)**



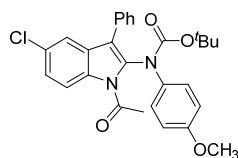
Red solid (446 mg, 87 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.25 (d, $J=8.4\text{Hz}$, 1H), 7.71 (d, $J=8.2\text{Hz}$, 2H), 7.53 (d, $J=9.1\text{Hz}$, 3H), 7.46 (t, $J=8.4\text{Hz}$, 1H), 7.35 (t, $J=7.6\text{Hz}$, 1H), 7.27 (d, $J=7.7\text{Hz}$, 2H), 6.85 (d, $J=9.1\text{Hz}$, 2H), 3.78 (s, 3H), 2.90 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 169.7, 158.1, 144.8, 137.1, 134.2, 133.1, 131.7, 129.7, 128.6, 127.4, 126.7, 125.4, 124.1, 120.1, 116.4, 114.6, 102.3, 55.57, 26.9, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{24}\text{H}_{21}\text{BrN}_2\text{NaO}_4\text{S}^+$ ($[\text{M} + \text{Na}]^+$), 535.0298, found, 535.0296.

Tert-butyl-(1-acetyl-5-methyl-3-phenyl-1*H*-indol-2-yl)(4-methoxyphenyl)carbamate (3z)



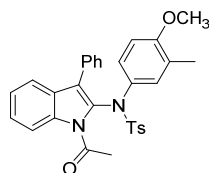
White solid (380 mg, 81 % yield, $R_f = 0.63$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.32 (d, $J= 8.2\text{ Hz}$, 1H), 7.45-7.35 (m, 6H), 7.25 (d, $J= 7.8\text{ Hz}$, 1H), 7.10 (s, 2H), 6.75 (d, $J= 7.9\text{ Hz}$, 2H), 3.74 (s, 3H), 2.63 (s, 3H), 2.45 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 169.4, 156.8, 153.1, 134.5, 133.6, 133.1, 132.2, 131.0, 128.9, 128.8, 127.8, 127.2, 123.9, 120.0, 119.8, 116.6, 114.1, 82.6, 55.5, 28.0, 25.8, 21.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{29}\text{H}_{30}\text{N}_2\text{NaO}_4^+$ ($[\text{M} + \text{Na}]^+$), 493.2098, found, 493.2114.

Tert-butyl-(1-acetyl-5-chloro-3-phenyl-1*H*-indol-2-yl)(4-methoxyphenyl)carbamate (3aa)



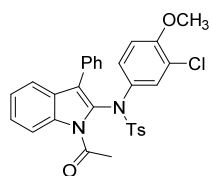
White solid (388 mg, 79 % yield, $R_f = 0.62$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.39 (d, $J = 8.6$ Hz, 1H), 7.59 (s, 1H), 7.42-7.36 (m, 6H), 7.07 (s, 2H), 6.76 (d, $J = 7.7$ Hz, 2H), 3.75 (s, 3H), 2.63 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 169.5, 156.9, 152.9, 134.2, 133.2, 132.0, 131.4, 129.7, 129.1, 128.9, 128.6, 128.2, 126.1, 123.9, 119.5, 118.2, 114.2, 82.9, 55.6, 28.0, 25.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{28}\text{H}_{27}\text{ClN}_2\text{NaO}_4^+$ ($[\text{M} + \text{Na}]^+$), 513.1552, found, 513.1564.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxy-3-methylphenyl)-4-methylbenzenesulfonamide (3ab)**



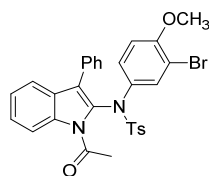
White solid (434 mg, 83 % yield, $R_f = 0.53$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.34 (d, $J = 8.4$ Hz, 1H), 7.53-7.44 (m, 2H), 7.38 (d, $J = 8.2$ Hz, 2H), 7.31-7.21 (m, 2H), 7.16-7.07 (m, 6H), 6.96 (d, $J = 8.0$ Hz, 2H), 6.72 (d, $J = 8.9$ Hz, 2H), 3.80 (s, 3H), 2.90 (s, 3H), 2.33 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.5, 155.1, 143.8, 136.6, 135.3, 135.0, 131.5, 129.7, 129.2, 128.9, 128.4, 127.8, 127.6, 127.6, 126.4, 123.9, 123.5, 122.4, 120.5, 119.8, 116.3, 110.3, 55.5, 26.9, 21.6, 16.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 525.1843, found, 525.1852.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(3-chloro-4-methoxyphenyl)-4-methylbenzenesulfonamide (3ac)**



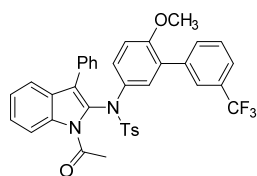
White solid (435 mg, 80 % yield, $R_f = 0.54$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.18 (d, $J = 8.4$ Hz, 1H), 7.51-7.44 (m, 2H), 7.39-7.37 (m, 3H), 7.31-7.23 (m, 2H), 7.19-7.14 (m, 3H), 7.05 (d, $J = 7.2$ Hz, 2H), 6.99 (d, $J = 8.0$ Hz, 2H), 6.79 (d, $J = 9.1$ Hz, 1H), 3.86 (s, 3H), 2.86 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.3, 152.7, 144.2, 136.2, 136.0, 134.7, 131.2, 129.7, 129.4, 128.5, 127.9, 127.9, 127.8, 126.5, 124.3, 123.6, 122.8, 122.8, 121.7, 120.8, 115.8, 112.1, 56.3, 27.1, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{ClN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 545.1296, found, 545.1307.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(3-bromo-4-methoxyphenyl)-4-methylbenzenesulfonamide (3ad)**



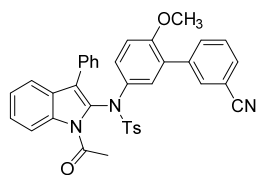
White solid (476 mg, 81 % yield, $R_f = 0.52$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.16 (d, $J=8.4$ Hz, 1H), 7.50-7.43 (m, 3H), 7.37 (d, $J=8.2$ Hz, 2H), 7.30-7.14 (m, 5H), 7.06-6.99 (m, 4H), 6.75 (d, $J=9.0$ Hz, 1H), 3.85 (s, 3H), 2.86 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.3, 153.7, 144.2, 136.2, 134.7, 131.3, 129.8, 129.4, 128.6, 128.5, 128.0, 127.9, 127.8, 127.5, 126.5, 123.6, 122.9, 122.7, 120.8, 115.8, 111.9, 111.8, 56.5, 27.2, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{30}\text{H}_{26}\text{BrN}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 589.0791, found, 589.0796.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(6-methoxy-3'-(trifluoromethyl)-[1,1'-biphenyl]-3-yl)-4-methylbenzenesulfonamide (3ae)**



White solid (536 mg, 82 % yield, $R_f = 0.61$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.17 (d, $J=8.4$ Hz, 1H), 7.62-7.58 (m, 3H), 7.53-7.44 (m, 5H), 7.33-7.28 (m, 2H), 7.23-7.11 (m, 6H), 7.06 (d, $J=8.2$ Hz, 2H), 6.89 (d, $J=9.0$ Hz, 1H), 3.80 (s, 3H), 2.94 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.4, 154.2, 144.2, 138.4, 136.5, 135.8, 134.6, 132.9, 131.5, 129.9, 129.4, 129.2, 128.5, 128.4, 128.2, 128.0, 127.9, 126.3, 126.2, 126.2, 125.6, 124.3, 124.0, 124.0, 123.5, 122.6, 120.7, 115.6, 111.9, 55.9, 27.2, 21.6. ^{19}F NMR (282 MHz, CDCl_3 , 25°C , δ): -62.4 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{37}\text{H}_{30}\text{F}_3\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 655.1873, found, 655.1885.

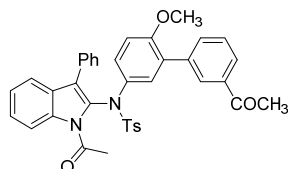
***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(3'-cyano-6-methoxy-[1,1'-biphenyl]-3-yl)-4-methylbenzenesulfonamide (3af)**



Yellow solid (513 mg, 84 % yield, $R_f = 0.65$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.08 (d, $J=8.4$ Hz, 1H), 7.60-7.57 (m, 3H), 7.48-7.41 (m, 5H), 7.32-7.13 (m, 8H), 7.06 (d, $J=8.0$ Hz, 2H),

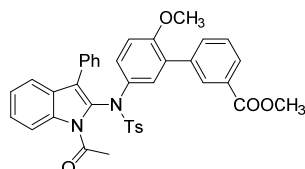
6.85 (d, $J=9.0$ Hz, 1H), 3.78 (s, 3H), 2.90 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.4, 154.2, 144.3, 138.9, 136.5, 135.7, 134.5, 134.0, 133.1, 131.5, 130.0, 129.2, 129.0, 128.5, 128.5, 128.2, 128.0, 127.9, 126.3, 126.0, 125.1, 123.5, 122.8, 120.8, 119.1, 115.4, 112.3, 111.8, 55.9, 27.3, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{37}\text{H}_{30}\text{N}_3\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 612.1952, found,612.1961.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(3'-acetyl-6-methoxy-[1,1'-biphenyl]-3-yl)-4-methylbenzenesulfonamide (3ag)**



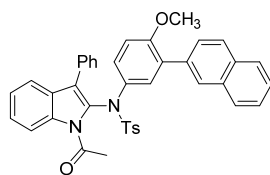
White solid (540 mg, 86 % yield, $R_f = 0.67$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 8.19 (d, $J=8.4$ Hz, 1H), 7.98 (s, 1H), 7.90 (d, $J=7.7$ Hz, 1H), 7.57 (d, $J=7.6$ Hz, 1H), 7.48 (d, $J=7.7$ Hz, 2H), 7.42 (t, $J=6.9$ Hz, 3H), 7.29-7.10 (m, 8H), 7.02 (d, $J=8.0$ Hz, 2H), 6.87 (d, $J=8.8$ Hz, 1H), 3.78 (s, 3H), 2.90 (s, 3H), 2.61 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 198.2, 170.4, 154.0, 144.1, 138.2, 137.1, 136.4, 135.9, 134.8, 134.2, 131.5, 130.1, 129.9, 129.7, 129.5, 129.4, 129.0, 128.7, 128.5, 128.1, 127.9, 127.8, 127.4, 126.4, 124.9, 123.5, 122.7, 120.7, 115.9, 111.9, 55.9, 27.1, 26.8, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{38}\text{H}_{33}\text{N}_2\text{O}_5\text{S}^+$ ($[\text{M} + \text{H}]^+$), 629.2105, found,629.2112.

Methyl-5'-((*N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-4-methylphenyl)sulfonamido)-2'-methoxy-[1,1'-biphenyl]-3-carboxylate (3ah)



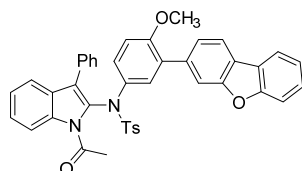
White solid (541 mg, 84 % yield, $R_f = 0.63$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 8.20 (d, $J=8.4$ Hz, 1H), 8.08 (s, 1H), 8.00 (d, $J=7.7$ Hz, 1H), 7.56 (d, $J=7.7$ Hz, 1H), 7.50-7.40 (m, 5H), 7.29-7.10 (m, 8H), 7.02 (d, $J=8.0$ Hz, 2H), 6.86 (d, $J=8.9$ Hz, 1H), 3.94 (s, 3H), 3.78 (s, 3H), 2.91 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.4, 167.1, 154.1, 144.1, 138.0, 136.4, 135.8, 134.8, 134.1, 131.5, 130.7, 130.1, 129.9, 129.7, 129.4, 129.0, 128.6, 128.5, 128.4, 128.3, 128.1, 127.9, 127.8, 126.4, 124.9, 123.8, 123.5, 123.5, 122.7, 120.7, 115.9, 111.8, 55.9, 55.3, 27.1, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{38}\text{H}_{33}\text{N}_2\text{O}_6\text{S}^+$ ($[\text{M} + \text{H}]^+$), 645.2054, found,645.2061.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-methoxy-3-(naphthalen-2-yl)phenyl)-4-methylbenzenesulfonamide (3ai)**



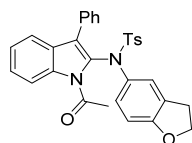
White solid (496 mg, 78 % yield, $R_f = 0.60$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.23 (d, $J=8.4$ Hz, 1H), 7.86-7.80 (m, 4H), 7.57-7.40 (m, 9H), 7.27-7.15 (m, 6H), 7.00 (d, $J=7.9$ Hz, 2H), 6.90 (d, $J=9.0$ Hz, 1H), 3.81 (s, 3H), 2.94 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.5, 154.3, 144.0, 136.6, 136.1, 135.4, 134.9, 133.4, 132.7, 131.6, 131.3, 129.9, 129.8, 129.4, 129.4, 129.1, 128.5, 128.5, 128.3, 128.3, 128.2, 128.1, 127.9, 127.9, 127.8, 127.7, 127.4, 126.4, 126.2, 125.1, 123.5, 122.7, 122.6, 120.7, 116.0, 111.9, 56.0, 27.1, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{40}\text{H}_{33}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 637.2156, found, 637.2164.

***N*-(1-Acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(3-(dibenzo[*b,d*]furan-3-yl)-4-methoxyphenyl)-4-methylbenzenesulfonamide (3aj)**



White solid (540 mg, 80 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.34 (d, $J=8.4$ Hz, 1H), 7.94 (t, $J=7.9$ Hz, 2H), 7.62 (d, $J=3.0$ Hz, 1H), 7.52 (t, $J=7.6$ Hz, 2H), 7.46-7.26 (m, 10H), 7.15 (s, 5H), 7.00 (d, $J=9.1$ Hz, 1H), 6.92 (d, $J=8.2$ Hz, 2H), 3.81 (s, 3H), 2.97 (s, 3H), 2.31 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.6, 156.1, 154.3, 153.7, 143.9, 136.7, 136.2, 135.2, 131.6, 130.5, 129.9, 129.4, 129.0, 128.8, 128.4, 127.92, 127.8, 127.6, 127.1, 126.5, 126.0, 124.8, 124.6, 124.4, 123.6, 122.8, 122.5, 122.0, 121.9, 120.7, 120.6, 120.1, 116.5, 112.2, 111.7, 56.1, 27.0, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{43}\text{H}_{33}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 677.2105, found, 677.2113.

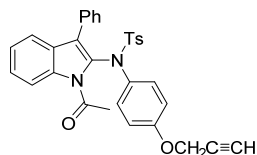
***N*-(1-Acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(2,3-dihydrobenzofuran-5-yl)-4-methylbenzenesulfonamide (3ak)**



White solid (478 mg, 82 % yield, $R_f = 0.50$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C , δ): 8.19 (d, $J=8.4$ Hz, 1H), 7.47-7.44 (m, 4H), 7.29-7.22 (m, 2H), 7.18-7.07 (m, 5H), 7.01-6.96 (m, 3H), 6.01 (d, $J=8.7$ Hz, 1H), 4.55 (t, $J=8.7$ Hz, 2H), 3.11 (t, $J=8.6$ Hz, 2H), 2.89 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25°C , δ): 170.5, 157.8, 143.8, 136.6, 135.5,

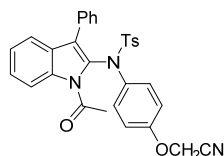
134.7, 131.5, 129.9, 129.4, 129.2, 128.3, 128.2, 128.0, 127.7, 126.3, 123.4, 122.7, 122.34, 120.6, 120.0, 115.8, 109.3, 71.7, 30.0, 27.1, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₁H₂₇N₂O₄S⁺ ([M +H]⁺), 523.1686, found,523.1681.

***N*-(1-Acetyl-3-phenyl-1*H*-indol-2-yl)-4-methyl-*N*-(4-(prop-2-yn-1-yloxy)phenyl)benzenesulfonamide (3al)**



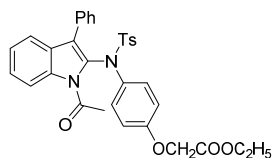
White solid (453 mg, 85 % yield, R_f = 0.56 (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ):8.28 (d, *J*= 8.4 Hz, 1H), 7.50-7.43 (m, 2H), 7.36 (d, *J*= 8.2 Hz, 2H), 7.30-7.18 (m, 4H), 7.11 (t, *J*= 7.6 Hz, 2H), 7.03 (d, *J*= 7.4 Hz, 2H), 6.95 (d, *J*= 8.1 Hz, 2H), 6.89 (d, *J*= 9.1 Hz, 2H), 4.66-4.65 (m, 2H), 2.86 (s, 3H), 2.53 (s,1H), 2.32 (s,3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ):170.5, 154.82 144.0, 136.9, 136.5, 135.0, 131.5, 129.7, 129.4, 128.7, 128.4, 127.9, 127.7, 126.5, 123.6, 122.6, 122.5, 120.6, 116.3, 115.7, 78.4, 76.0, 56.3, 27.0, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₂H₂₇N₂O₄S⁺ ([M +H]⁺), 535.1686, found,535.1680.

***N*-(1-Acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(4-(cyanomethoxy)phenyl)-4-methylbenzenesulfonamide (3am)**



White solid (463 mg, 83 % yield, R_f = 0.48 (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ):8.23 (d, *J*= 8.4 Hz, 1H), 7.51-7.44 (m, 2H), 7.36 (d, *J*= 8.1 Hz, 2H), 7.31-7.26 (m, 3H), 7.20 (d, *J*= 7.2 Hz, 1H), 7.13 (d, *J*= 7.5 Hz, 2H), 7.02-6.95 (m, 4H), 6.89 (d, *J*= 9.0 Hz, 2H), 4.73 (s, 2H), 2.85 (s, 3H), 2.32 (s,3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 170.3, 153.6, 144.2, 138.3, 136.3, 135.0, 131.3, 129.7, 129.5, 128.5, 127.9, 127.8, 126.6, 123.7, 122.9, 122.7, 120.8, 116.1, 115.9, 115.0, 54.0, 27.0, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₃₁H₂₅N₃NaO₄S⁺ ([M +Na]⁺), 558.1458, found,558.1465.

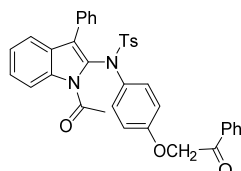
Ethyl-2-(4-((*N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-4-methylphenyl)sulfonamido)phenoxy)acetate (3an)



White solid (466 mg, 80 % yield, R_f = 0.42 (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25 °C, δ):8.27 (d, *J*= 8.4 Hz, 1H), 7.49-7.43 (m, 2H), 7.35 (d, *J*= 8.2 Hz, 2H), 7.30-7.18 (m, 4H), 7.11 (t, *J*= 7.5 Hz,

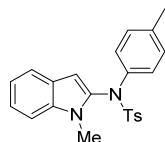
2H), 7.02 (d, $J=7.2$ Hz, 2H), 6.94 (d, $J=8.0$ Hz, 2H), 6.81 (d, $J=9.2$ Hz, 2H), 4.58 (s, 2H), 4.6 (q, $J=7.1$ Hz, 2H), 2.85 (s, 3H), 2.32 (s,3H), 1.29 (t, $J=7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.4, 168.8, 155.1, 144.0, 137.0, 136.4, 135.0, 131.4, 129.7, 129.4, 128.7, 127.9, 127.7, 126.6, 123.6, 122.7, 122.6, 120.7, 116.3, 115.5, 65.8, 61.6, 27.0, 21.6, 14.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{33}\text{H}_{31}\text{N}_2\text{O}_6\text{S}^+$ ($[\text{M} + \text{H}]^+$), 583.1897, found,583.1893.

***N*-(1-Acetyl-3-phenyl-1*H*-indol-2-yl)-4-methyl-*N*-(4-(2-oxo-2-phenylethoxy)phenyl)benzenesulfonamide (3ao)**



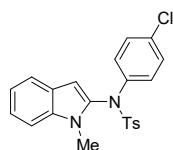
White solid (478 mg, 78 % yield, $R_f = 0.42$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ):8.28 (d, $J=8.4$ Hz, 1H), 7.97 (d, $J=7.4$ Hz, 2H), 7.16 (t, $J=7.3$ Hz, 1H), 7.51-7.42 (m, 4H), 7.34 (d, $J=8.1$ Hz, 2H), 7.29-7.18 (m, 4H), 7.11 (t, $J=7.5$ Hz, 2H), 7.02 (d, $J=7.2$ Hz, 2H), 6.93 (d, $J=8.0$ Hz, 2H), 6.85 (d, $J=9.0$ Hz, 2H),5.25 (s, 2H), 2.85 (s, 3H), 2.31 (s,3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ):194.3, 170.4, 155.3, 144.0, 136.9, 136.4, 135.0, 134.5, 131.3, 129.7, 129.3, 129.0, 128.6, 128.4, 128.1, 127.8, 127.7, 126.5, 123.6, 122.6, 122.5, 120.6, 116.2, 115.7, 71.1, 26.9, 21.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}_5\text{S}^+$ ($[\text{M} + \text{H}]^+$), 615.1948, found,615.1958.

4-Methyl-*N*-(1-methyl-3-phenyl-1*H*-indol-2-yl)-*N*-(*p*-tolyl)benzenesulfonamide (3ap)



Light yellow solid (89 mg, 23 % yield, $R_f=0.41$ (petroleum ether/ethyl acetate = 5: 1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.63-7.57 (m,3H), 7.34-7.28 (t, $J=9.0$ Hz, 6H), 7.18-7.14 (t, $J=6.0$ Hz, 3H), 3.82 (s,3H), 2.50 (s, 3H), 2.37 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 144.2, 137.8, 137.7, 135.5, 135.0, 134.8, 129.9, 129.5, 128.5, 127.8, 125.9, 122.7, 121.0, 119.9, 109.9, 99.5, 29.1, 21.7, 21.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}_2\text{S}^+$ ($[\text{M} + \text{H}]^+$), 391.1475, found,391.1486.

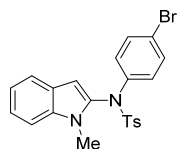
***N*-(4-chlorophenyl)-4-methyl-*N*-(1-methyl-1*H*-indol-2-yl)benzenesulfonamide (3aq)**



Light yellow solid (86 mg, 21% yield, $R_f=0.41$ (petroleum ether/ethyl acetate = 5 :

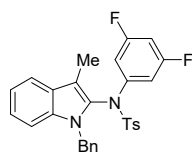
1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.60-7.55 (t, J =7.5Hz,3H), 7.39-7.28 (m, 8H), 7.16-7.11 (t, J =7.5Hz, 3H), 6.16 (s,1H), 3.77 (s, 3H), 2.49 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 144.6, 139.9, 135.2, 135.1, 134.1, 133.5, 129.7, 129.4, 128.9, 128.5, 125.8, 123.0, 121.1, 120.2, 110.0, 99.9, 29.2, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{20}\text{ClN}_2\text{O}_2\text{S}^+$ ($[\text{M} + \text{H}]^+$), 411.0929, found,411.0915.

N-(4-chlorophenyl)-4-methyl-N-(1-methyl-1H-indol-2-yl)benzenesulfonamide (3ar)



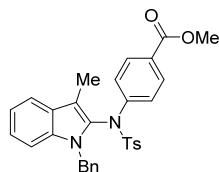
Light yellow solid (104 mg, 23% yield, R_f = 0.45 (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.60-7.55 (t, J =9.0Hz, 3H), 7.48-7.45 (d, J =9.0Hz, 2H), 7.35-7.26 (m, 6H), 7.17-7.11 (m,1H), 3.77(s, 3H), 2.50 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 144.6, 139.5, 135.3, 135.1, 134.0, 132.4, 129.7, 129.12, 128., 125.8, 123.0, 121.5, 121.2, 120.2, 110.1, 100.0, 29.2, 21.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{20}\text{BrN}_2\text{O}_2\text{S}^+$ ($[\text{M} + \text{H}]^+$), 455.0423, found,455.0411.

N-(3,5-difluorophenyl)-4-methyl-N-(1-methyl-1H-indol-2-yl)benzenesulfonamide (3as)



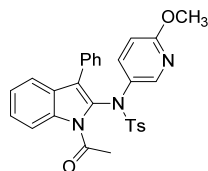
Light yellow solid (230 mg, 46% yield, R_f = 0.43 (petroleum ether/ethyl acetate = 5 : 1 (v/v)));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.77-7.74 (d, J =9.0Hz, 2H), 7.65-7.62 (d, J =9.0Hz, 1H), 7.36-7.21 (m, 5H), 7.18-7.12 (m,3H), 6.95-6.90 (t, J =9.0Hz, 7.5H), 6.76-6.74 (d, J =6.0Hz, 2H), 6.52-6.42 (t, J =6.0Hz, 1H), 2.49(s, 3H), 1.92-1.89(d, J =6.0Hz, 3H) . ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 164.5, 164.3, 161.2, 161.0, 145.3, 145.1, 143.3, 143.2, 143.0, 142.9, 137.1, 137.4, 136.2, 135.9, 135.4, 135.3, 130.1, 130.0, 129.6, 129.1, 128.8, 128.5, 128.2, 127.3, 127.2, 126.9, 126.7, 126.6, 124.1, 123.9, 120.0, 119.9, 119.8, 110.8, 110.7, 110.6, 110.6, 106.6, 106.5, 106.4, 106.3, 106.2, 106.10, 105.8, 105.7, 101.2, 100.9, 100.5, 47.0, 21.8, 9.3. ^{19}F NMR (75 MHz, CDCl_3 , 25 °C, δ): -108.5, -91.5.Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{29}\text{H}_{25}\text{F}_2\text{N}_2\text{O}_2\text{S}^+$ ($[\text{M} + \text{H}]^+$), 503.1599, found,503.1613.

Methyl4-((4-methyl-N-(1-methyl-1H-indol-2-yl)phenyl)sulfonamido)benzoate (3at)



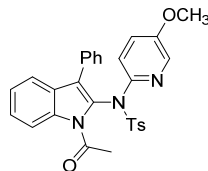
Light yellow solid (162mg, 31% yield, $R_f = 0.51$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.81-7.72 (m, 4H), 7.62-7.60 (d, $J=6.0\text{Hz}$, 1H), 7.32 (s, 2H), 7.27-7.23 (t, $J=7.5\text{Hz}$, 3H), 7.20-7.11 (m, 5H), 6.94-6.93 (d, $J=3.0\text{Hz}$, 2H), 3.89 (s, 3H), 2.47 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 166.4, 145.0, 144.9, 137.2, 136.6, 135.2, 130.5, 130.1, 130.0, 128.5, 128.3, 127.2, 126.9, 126.9, 126.7, 123.7, 122.7, 119.8, 119.7, 110.9, 110.4, 52.3, 46.9, 21.8, 9.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 525.1843, found, 525.1867.

***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(6-methoxypyridin-3-yl)-4-methylbenzenesulfonamide (3au)**



White solid (454 mg, 89 % yield, $R_f = 0.56$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 8.03-8.00 (m, 2H), 7.56-7.52 (m, 1H), 7.43 (t, $J=9.2\text{Hz}$, 4H), 7.28-7.16 (m, 4H), 7.05 (t, $J=5.7\text{Hz}$, 4H), 7.43 (d, $J=9.0\text{Hz}$, 4H), 3.88 (s, 3H), 2.88 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.2, 161.7, 144.2, 142.1, 136.3, 134.8, 134.4, 133.4, 131.2, 129.9, 129.5, 129.0, 128.5, 128.1, 128.0, 127.9, 126.4, 123.5, 122.8, 120.9, 115.2, 110.9, 53.8, 27.3, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{29}\text{H}_{26}\text{N}_3\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 512.1639, found, 512.1669.

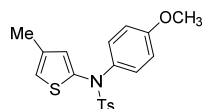
***N*-(1-acetyl-3-phenyl-1*H*-indol-2-yl)-*N*-(5-methoxypyridin-2-yl)-4-methylbenzenesulfonamide (3av)**



White solid (444 mg, 87 % yield, $R_f = 0.54$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 8.45 (d, $J= 8.5\text{ Hz}$, 1H), 8.04 (d, $J= 2.7\text{ Hz}$, 1H), 7.50 (t, $J= 8.1\text{ Hz}$, 1H), 7.43 (d, $J=8.1\text{ Hz}$, 1H), 7.26-7.18 (m, 2H), 7.12-7.00 (m, 4H), 6.91-6.83 (m, 4H), 3.83 (s, 3H), 2.81 (s, 3H), 2.28 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 170.7, 152.8, 149.1, 144.0, 136.5, 135.7, 134.1, 131.5, 129.8, 129.4, 129.0, 128.8, 128.4, 127.7, 127.6, 127.5, 126.7, 124.4, 123.7, 122.7, 120.48, 117.02, 113.97, 56.10, 26.43, 21.63. Mass Spectrometry: HRMS

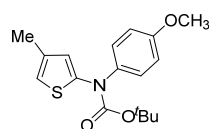
(ESI-TOF) (m/z): calcd for C₂₉H₂₆N₃O₄S⁺ ([M +H]⁺), 512.1639, found,512.1668.

***N*-(4-methoxyphenyl)-4-methyl-*N*-(3-methylthiophen-2-yl)benzenesulfonamide (5a)**



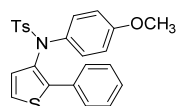
White solid (320 mg, 86 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C,δ): 7.66 (d, $J=9.0$ Hz, 1H), 7.46 (d, $J=8.1$ Hz, 2H), 7.24 (d, $J=5.1$ Hz, 1H), 7.16 (d, $J=8.0$ Hz, 2H), 6.91-6.87 (m, 2H), 6.66 (d, $J=2.7$ Hz, 2H), 6.51 (s, 1H), 3.75 (s, 3H), 2.37 (s, 3H), 1.85 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 156.4, 143.9, 136.6, 136.1, 131.2, 130.3, 129.6, 128.7, 127.3, 126.9, 125.7, 122.7, 117.0, 115.1, 55.6, 21.7, 14.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₁₉H₁₉NNaO₃S₂⁺ ([M +Na]⁺), 396.0699, found, 396.0716.

Tert-butyl (4-methoxyphenyl)(3-methylthiophen-2-yl)carbamate (5b)



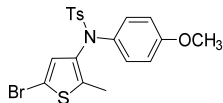
White solid (252 mg, 79 % yield, $R_f = 0.54$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C,δ):7.96 (d, $J= 8.1$ Hz, 1H), 7.32 (d, $J= 5.0$ Hz, 1H), 6.98-6.90 (m, 2H), 6.79 (d, $J= 2.7$ Hz, 1H), 6.26 (s,1H),3.79 (s, 3H), 2.09 (s, 3H), 1.47 (s,9H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 155.1, 153.3, 136.0, 132.7, 130.4, 125.5, 124.8, 121.6, 116.8, 114.8, 80.4, 55.7, 28.5, 14.4. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₁₇H₂₁NNaO₃S⁺ ([M +Na]⁺), 342.1134, found, 342.1140.

***N*-(4-methoxyphenyl)-4-methyl-*N*-(2-phenylthiophen-3-yl)benzenesulfonamide (5c)**



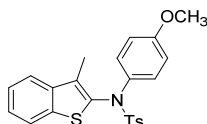
White solid (366 mg, 84 % yield, $R_f = 0.70$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ¹H NMR (300 MHz, CDCl₃, 25°C,δ):7.63 (d, $J= 8.2$ Hz, 2H), 7.49 (d, $J= 7.1$ Hz, 2H),7.36-7.28 (m, 5H), 7.21 (d, $J= 8.9$ Hz, 2H), 7.04 (d, $J= 3.9$ Hz, 1H), 6.88-6.82 (m, 3H), 3.86 (s, 3H), 2.45 (s, 3H). ¹³C NMR (75 MHz, CDCl₃, 25 °C, δ): 159.6, 144.2, 143.2, 142.3, 135.8, 134.1, 134.0, 130.0, 129.7, 129.0, 128.4, 127.9, 125.7, 125.6, 121.3, 114.7, 55.6, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for C₂₄H₂₂NO₃S₂⁺ ([M +H]⁺), 436.1036, found, 436.1042.

***N*-(5-Bromo-2-methylthiophen-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (5d)**



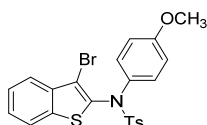
White solid (389 mg, 86 % yield, $R_f = 0.68$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 7.54 (d, $J=8.2$ Hz, 2H), 7.28 (d, $J=8.0$ Hz, 2H), 7.12 (d, $J=8.9$ Hz, 1H), 6.81 (d, $J=8.9$ Hz, 2H), 6.66 (s, 1H), 3.78 (s, 3H), 2.45 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 158.9, 144.0, 140.3, 136.6, 134.7, 133.4, 129.7, 129.4, 128.5, 128.0, 114.5, 107.4, 55.6, 21.7, 12.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{19}\text{H}_{18}\text{BrNNaO}_3\text{S}_2^+$ ($[\text{M} + \text{Na}]^+$), 473.9804, found, 473.9815.

***N*-(4-methoxyphenyl)-4-methyl-*N*-(3-methylbenzo[*b*]thiophen-2-yl)benzenesulfonamide (5e)**



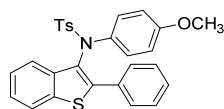
White solid (342 mg, 81 % yield, $R_f = 0.60$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 7.68-7.65 (m, 4H), 7.36-7.28 (m, 6H), 6.85 (d, $J=8.9$ Hz, 2H), 3.78 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 159.2, 144.2, 138.0, 137.7, 136.8, 136.0, 133.5, 132.4, 130.0, 129.5, 128.7, 125.5, 124.4, 122.9, 122.4, 114.5, 55.5, 21.7, 11.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{23}\text{H}_{22}\text{NO}_3\text{S}_2^+$ ($[\text{M} + \text{H}]^+$), 424.1036, found, 424.1045.

***N*-(3-bromobenzo[*b*]thiophen-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (5f)**



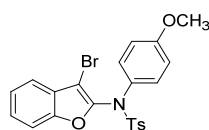
White solid (340 mg, 70 % yield, $R_f = 0.66$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 7.79-7.76 (m, 1H), 7.69 (d, $J= 8.0$ Hz, 1H), 7.42-7.36 (m, 4H), 7.30 (d, $J= 8.0$ Hz, 2H), 6.84 (d, $J= 8.9$ Hz, 2H), 3.78 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 159.6, 144.5, 137.8, 136.9, 136.0, 135.9, 132.5, 130.7, 129.7, 128.8, 126.7, 125.5, 124.3, 122.7, 114.6, 110.9, 55.6, 21.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{18}\text{H}_{17}\text{BrNO}_3\text{S}_2^+$ ($[\text{M} + \text{H}]^+$), 487.9984, found, 487.9986.

***N*-(4-methoxyphenyl)-4-methyl-*N*-(2-phenylbenzo[*b*]thiophen-3-yl)benzenesulfonamide (5g)**



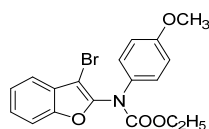
White solid (403 mg, 83 % yield, $R_f = 0.62$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 7.78 (d, $J = 7.8$ Hz, 1H), 7.60-7.58 (m, 3H), 7.51 (d, $J = 8.1$ Hz, 2H), 7.39-7.33 (m, 5H), 7.16 (d, $J = 7.9$ Hz, 2H), 7.02 (d, $J = 8.9$ Hz, 2H), 6.66 (d, $J = 8.9$ Hz, 2H), 3.71 (s, 3H), 2.42 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 158.2, 143.8, 143.9, 137.9, 137.7, 136.8, 134.3, 132.6, 129.8, 129.4, 129.0, 128.6, 128.2, 127.5, 125.0, 125.0, 123.1, 122.7, 114.3, 55.5, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{28}\text{H}_{24}\text{NO}_3\text{S}_2^+$ ($[\text{M} + \text{H}]^+$), 486.1192, found, 486.1190.

***N*-(3-Bromobenzofuran-2-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (5h)**



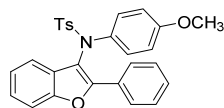
White solid (342 mg, 81 % yield, $R_f = 0.60$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25°C, δ): 7.71 (d, $J = 8.1$ Hz, 2H), 7.50 (d, $J = 7.7$ Hz, 1H), 7.44-7.29 (m, 7H), 6.82 (d, $J = 8.8$ Hz, 2H), 3.77 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 159.9, 151.7, 145.8, 144.5, 135.9, 130.9, 130.6, 129.6, 128.6, 127.7, 126.5, 123.7, 120.5, 114.5, 111.8, 96.8, 55.5, 21.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{19}\text{BrNO}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 473.0213, found, 472.0220.

Ethyl (3-bromobenzofuran-2-yl)(4-methoxyphenyl)carbamate (5i)



White solid (392 mg, 85 % yield, $R_f = 0.64$ (petroleum ether/ethyl acetate = 5 : 1 (v/v)); NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 °C, δ): 7.52 (d, $J = 6.5$ Hz, 1H), 7.45-7.29 (m, 5H), 6.91 (d, $J = 8.9$ Hz, 2H), 4.29 (q, $J = 7.1$ Hz, 2H), 3.79 (s, 3H), 1.27 (q, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 °C, δ): 171.7, 154.4, 137.9, 135.2, 133.7, 132.8, 129.2, 129.0, 128.7, 127.1, 124.0, 123.9, 118.7, 117.6, 115.8, 114.8, 111.9, 55.7, 27.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{18}\text{H}_{17}\text{BrNO}_4^+$ ($[\text{M} + \text{H}]^+$), 390.0335, found, 390.0328.

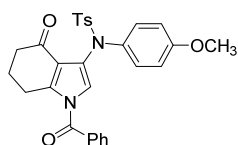
***N*-(4-methoxyphenyl)-4-methyl-*N*-(2-phenylbenzofuran-3-yl)benzenesulfonamide (5j)**



White solid (404 mg, 86 % yield, $R_f = 0.68$ (petroleum ether/ethyl acetate = 5 : 1

(v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 $^\circ\text{C}$, δ):8.10 (d, $J= 7.0$ Hz, 2H), 7.62 (d, $J= 8.0$ Hz, 2H), 7.51-7.37 (m, 6H), 7.31-7.21 (m, 3H), 7.13 (t, $J= 7.4$ Hz, 1H), 6.99 (d, $J= 7.6$ Hz, 1H), 6.76 (d, $J= 8.9$ Hz, 2H), 3.73 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3 , 25 $^\circ\text{C}$, δ):158.6, 153.3, 153.1, 144.2, 137.5, 134.2, 129.6, 129.5, 129.0, 128.7, 128.4, 127.8, 127.6, 127.0, 125.0, 123.3, 120.3, 118.7, 114.5, 111.9, 55.1, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{28}\text{H}_{24}\text{NO}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 470.1421, found, 470.1418.

***N*-(1-benzoyl-4-oxo-4,5,6,7-tetrahydro-1*H*-indol-3-yl)-*N*-(4-methoxyphenyl)-4-methylbenzenesulfonamide (5k)**



White solid (401 mg, 78 % yield, $R_f = 0.63$ (petroleum ether/ethyl acetate = 5 : 1 (v/v));NMR Spectroscopy: ^1H NMR (300 MHz, CDCl_3 , 25 $^\circ\text{C}$, δ): 7.76 (d, $J=7.3$ Hz, 2H), 7.66 (t, $J=7.4$ Hz, 1H), 7.48 (t, $J=7.7$ Hz, 2H), 7.35 (d, $J=8.2$ Hz, 2H), 7.21 (d, $J=8.1$ Hz, 2H), 6.90 (d, $J=8.9$ Hz, 2H), 6.72 (d, $J=9.0$ Hz, 2H), 6.33 (s, 1H), 3.77 (s, 3H), 2.54-2.46 (m, 4H), 2.41 (s, 3H), 2.04 (s, 2H). ^{13}C NMR (75 MHz, CDCl_3 , 25 $^\circ\text{C}$, δ): 194.6, 168.6, 159.2, 144.5, 143.5, 134.4, 133.8, 132.2, 131.1, 130.7, 129.9, 129.6, 128.4, 127.4, 125.9, 120.7, 116.0, 113.9, 106.3, 55.6, 37.7, 23.9, 23.8, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_4\text{S}^+$ ($[\text{M} + \text{H}]^+$), 515.1635, found,515.1633.

