

Supporting Information

Facile Synthesis of 4-Acetoxyindoles via $\text{PhI}(\text{OAc})_2$ - Mediated Dearomatization of 2-Alkynylanilines

Yue Wang,^a Qiuqin He,^{*a} and Renhua Fan^{*a}

*^aDepartment of Chemistry, Fudan University, 220 Handan Road,
Shanghai, 200433, China.*

**E-mail: rhfan@fudan.edu.cn*

Context

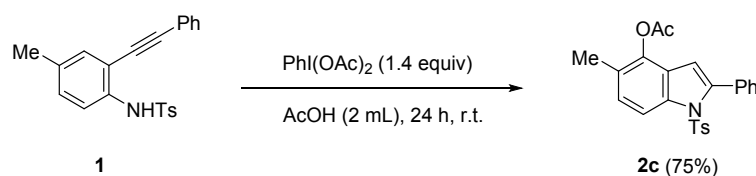
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General Information

All reactions were performed in round bottom flask or Schlenk tubes. Flash column chromatography was performed using silica gel (60-Å pore size, 32–63 μm, standard grade). Analytical thin-layer chromatography was performed using glass plates pre-coated with 0.25 mm 230–400 mesh silica gel impregnated with a fluorescent indicator (254 nm). Thin layer chromatography plates were visualized by exposure to ultraviolet light. Organic solutions were concentrated on rotary evaporators at ~20 Torr (house vacuum) at 35–40 °C. Commercial reagents and solvents were used as received. Nuclear magnetic resonance (NMR) spectra are recorded in parts per million from internal tetramethylsilane on the δ scale.

Experimental procedures and characterization data

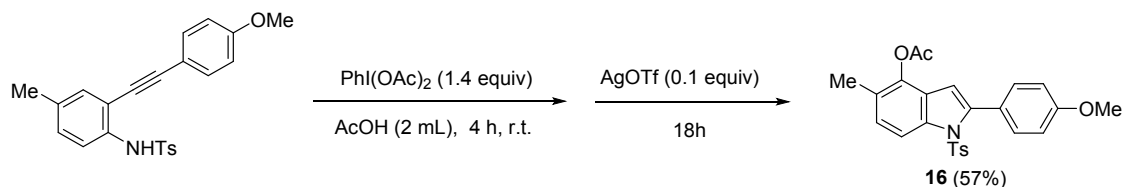
Example for the synthesis of **2c**



In a dry round bottom flask, a solution of 4-methyl-*N*-(4-methyl-2-(phenylethynyl)phenyl)benzenesulfonamide **1** (36 mg, 0.1 mmol, 1 equiv) in AcOH (2 mL) was mixed with $\text{PhI}(\text{OAc})_2$ (45 mg, 0.14 mmol, 1.4 equiv). After stirring at room temperature for 24 h, the reaction mixture was quenched with saturated NaHCO_3 , and extracted by ethyl acetate (5 mL \times 3). The organic layers were combined, dried over Na_2SO_4 , filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5/1) to furnish the desired compound **2c** (75%).

In a large-scale experiment, **1** (3.6 g, 10 mmol, 1 equiv) and $\text{PhI}(\text{OAc})_2$ (4.5 g, 14 mmol, 1.4 equiv) were placed in a round bottom flask (250 mL), AcOH (60 mL) was added, and the reaction was stopped after stirring at room temperature for 24 hours. The desired compound **2c** was obtained with a yield of 65% after separation and purification.

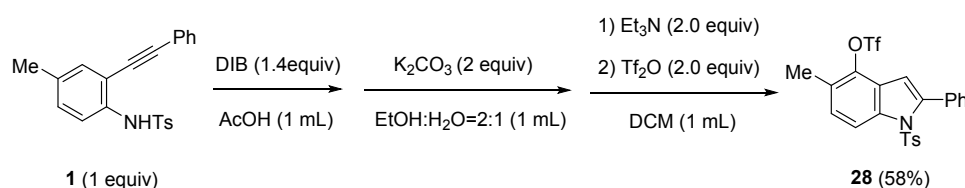
Example for the synthesis of **16**



In a dry round bottom flask, a solution of *N*-(2-((4-methoxyphenyl)ethynyl)-4-methylphenyl)-4-methylbenzenesulfonamide (36 mg, 0.1 mmol, 1 equiv) in acetic acid AcOH (1 mL) was mixed with $\text{PhI}(\text{OAc})_2$ (45 mg, 0.14 mmol, 1.4 equiv). The resulting mixture was stirred at room temperature for 4 h, then the catalyst AgOTf (3 mg, 0.01 mmol, 0.1 equiv) was added into the mixture. After stirring at room temperature for 18 h, the reaction mixture was quenched with saturated NaHCO_3 , and extracted by ethyl acetate (5 mL \times 3). The organic layers were combined, dried over Na_2SO_4 ,

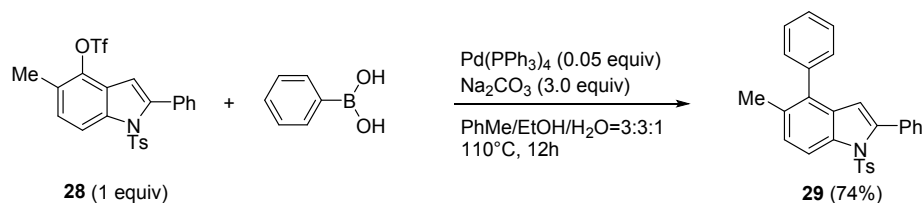
filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5/1) to furnish the desired compound **16** (57%).

Example for the synthesis of **28**



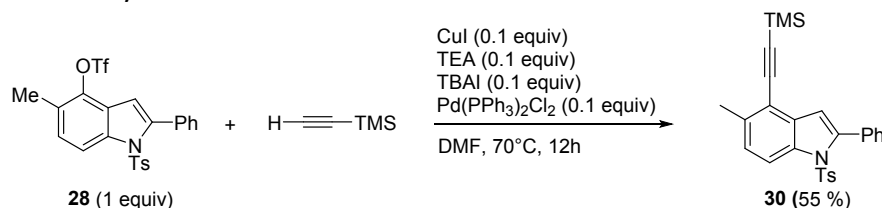
In a dry round bottom flask, a solution of 4-methyl-2-(phenylethynyl)phenyl)benzenesulfonamide **1** (36 mg, 0.1 mmol, 1 equiv) in AcOH (1.0 mL) was mixed with $\text{PhI}(\text{OAc})_2$ (45 mg, 0.14 mmol, 1.4 equiv). AcOH was removed under reduced pressure and the residue was dissolved in a mixed solvent ($\text{EtOH}/\text{H}_2\text{O} = 2/1$, 1 mL). To this solution was added K_2CO_3 (28 mg, 0.2 mmol, 2 equiv). The resulting mixture was heated at reflux for 6 h and then concentrated in vacuum. The residue was dissolved in DCM (1 mL) and cooled to 0 °C. Et_3N (20 mg, 0.2 mmol, 2 equiv) was added dropwise to this solution followed by Tf_2O (56 mg, 0.2 mmol, 2 equiv). After stirring at 0°C for 10 min, the reaction was allowed to warm to room temperature and stirred for 8 h, quenched with saturated aqueous NaHCO_3 solution, and extracted by ethyl acetate (10 mL \times 3). The combined organic layers were washed with brine, dried over Na_2SO_4 , filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **28** (58%).

Example for the synthesis of **29**



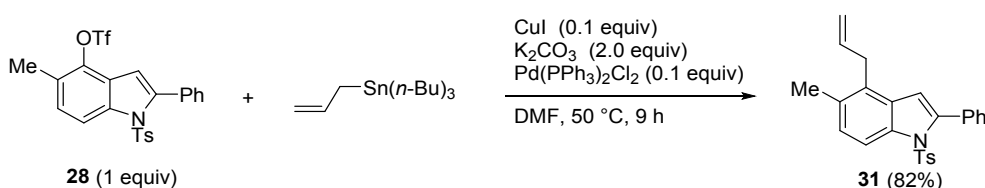
5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv), phenylboronic acid (13 mg, 0.11 mmol, 1.1 equiv), tetrakis(triphenylphosphine)palladium (6 mg, 0.005 mmol, 0.05equiv), sodium carbonate (32 mg, 0.3 mmol, 3.0 equiv), toluene (0.3 mL), ethanol (0.3 mL) and water (0.1 mL) were mixed under nitrogen atmosphere. After stirring at 110 °C for 12 h the mixture was cooled down to the room temperature and treated with 2 M HCl (1 mL) and water (1 mL). The aqueous phase was extracted with ethyl acetate (10 mL \times 3). The combined organic layers were washed with brine, dried over Na_2SO_4 filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **29** (74%).

Example for the synthesis of **30**



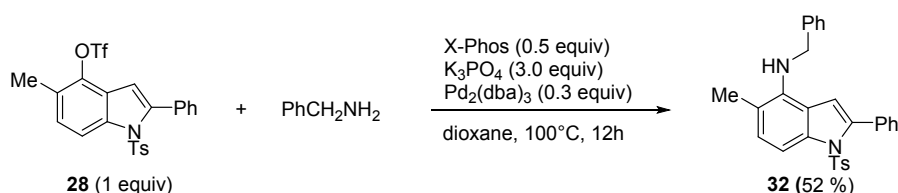
To a stirred solution of 5-methyl-2-phenyl-1-tosyl-1*H*-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv), CuI (2 mg, 0.01 mmol, 0.1 equiv), TBAI (4 g, 0.01 mmol, 0.1 equiv) and Pd(PPh₃)Cl₂ (7 mg, 0.01 mmol, 0.1 equiv) in dry DMF (1 mL) were added trimethylsilylacetylene (20 mg, 0.2 mmol, 2 equiv) and TEA (1 mg, 0.01 mmol, 0.1 equiv) under nitrogen atmosphere. After stirring for 12 h at 70 °C, the reaction mixture was poured into saturated aqueous NH₄Cl solution and extracted with ethyl acetate (10 mL × 3). The combined organic layers were washed with water, brine, dried over Na₂SO₄, filtered and concentrated in vacuo to give a residue, which was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **30** (55%).

Example for the synthesis of **31**



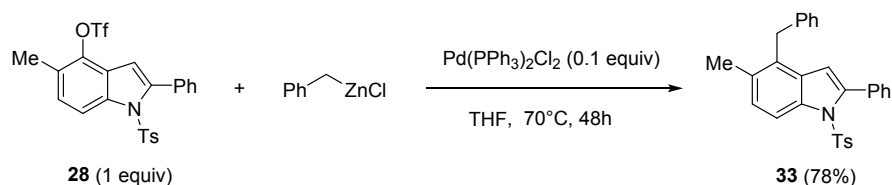
To a suspension of 5-methyl-2-phenyl-1-tosyl-1*H*-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv), Pd(PPh₃)Cl₂ (7 mg, 0.01 mmol, 0.1 equiv), CuI (2 mg, 0.01 mmol, 0.1 equiv) and K₂CO₃ (0.2 mmol) in dry DMF (1 mL) was added allyltributylstannane (33 mg, 0.1 mmol, 1equiv). The mixture was stirred at 50 °C under nitrogen atmosphere for 9 h. After cooling to room temperature, water was added and the aqueous phase was extracted with ethyl acetate (10 mL × 3). The combined organic layers were washed with brine, dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **31** (82%).

Example for the synthesis of **32**



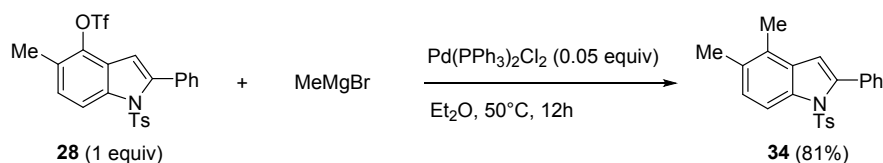
In a dry Schlenk tube, to a solution of 5-methyl-2-phenyl-1-tosyl-1*H*-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv), Pd₂(dba)₃ (14 mg, 0.03 mmol, 0.3 equiv), X-Phos (12 g, 0.05 mmol, 0.5 equiv) and K₃PO₄ (32 mg, 0.3 mmol, 3 equiv) in dry 1,4-dioxane (1 mL) was added benzylamine (22 mg, 0.2 mmol, 2 equiv). The reaction mixture was stirred at 100 °C under nitrogen atmosphere for 12 h. After cooling to room temperature, water was added and the aqueous phase was extracted with ethyl acetate (10 mL × 3), dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **32** (52%).

Example for the synthesis of **33**



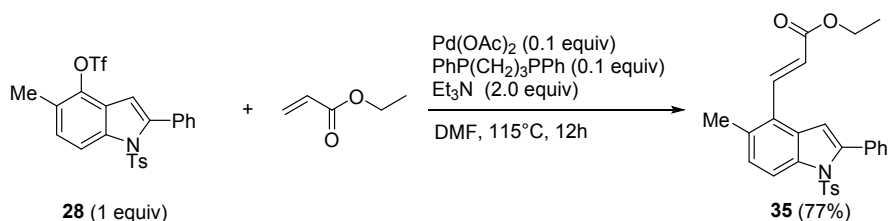
In a dry Schlenk tube, a solution of benzyl chloride (in THF, 19 mg, 0.15 mmol, 1.5 equiv) and ZnCl₂ (1M in THF, 22 mg, 0.16 mmol, 1.6 equiv) were stirred at room temperature for 20 minutes under nitrogen atmosphere. A solution of 5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv) and Pd(PPh₃)Cl₂ (7 mg, 0.01 mmol, 0.1 equiv) in THF (1 mL) were added. The resulting mixture was stirred at 70°C for 48 h. The solvent was removed under reduced pressure and the residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5/1) to furnish the desired compound **33** (58%).

Example for the synthesis of **34**



A dry Schlenk tube containing 5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv) and Pd(PPh₃)Cl₂ (4 mg, 0.005 mmol, 0.05 equiv) was evacuated and refilled three times with N₂. After addition of Et₂O (0.5 mL), the solution was stirred with N₂ at 0 °C for 10 minutes, and then treated with MeMgBr (in Et₂O, 35 mg, 0.3 mmol, 3 equiv) dropwise. The mixture was warmed to 50 °C and stirred for 12 h. The mixture was cooled to 0 °C and treated dropwise with H₂O (1 mL). After the addition of 1 M HCl (2 mL), the aqueous phase was extracted with ethyl acetate (10 mL × 3). The combined organic layers were washed with saturated aqueous NaHCO₃ solution, dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **34** (81%).

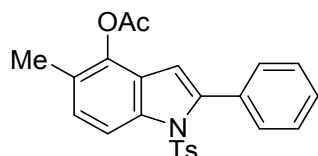
Example for the synthesis of **35**



A mixture of 5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate **28** (51 mg, 0.1 mmol, 1 equiv), ethyl acrylate (20 mg, 0.2 mmol, 2 equiv), Et₃N (20 mg, 0.2 mmol, 2 equiv), 1,3-(diphenylphosphino)propane (2 mg, 0.01 mmol), and palladium(II) acetate (2 mg, 0.01 mmol, 0.1 equiv) in dry DMF (1 mL) was stirred at 115 °C under nitrogen atmosphere for 12 h. The solution was concentrated in vacuo and the residue was dissolved in CH₂Cl₂. The dichloromethane solution was washed with water, dried over Na₂SO₄, filtered, and then concentrated in vacuo. The residue

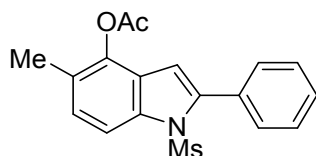
was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10/1) to furnish the desired compound **35** (77%).

Characterization data of products **2-35**



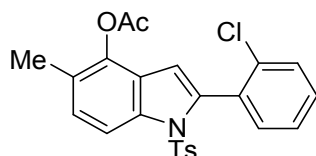
5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (2c)

Amorphous yellow solid, yield 75% (31 mg). Mp.55.5 - 56.4 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, *J* = 8.5 Hz, 1H), 7.48 - 7.39 (m, 5H), 7.27 (d, *J* = 7.8 Hz, 2H), 7.20 (d, *J* = 8.5 Hz, 1H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.36 (s, 1H), 2.32 (s, 3H), 2.30 (s, 3H), 2.24 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.7, 142.2, 141.1, 137.7, 134.7, 132.0, 130.4, 129.3, 128.8, 127.4, 127.4, 126.8, 125.2, 124.1, 114.2, 109.4, 21.5, 20.5, 15.7. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₄H₂₁NNaO₄S 442.1089; Found 442.1084.



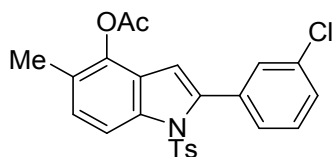
5-methyl-1-(methylsulfonyl)-2-phenyl-1H-indol-4-yl acetate (3)

Amorphous cyan solid, yield 68% (23 mg). Mp.150.3 - 151.1 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 8.5 Hz, 1H), 7.57 - 7.51 (m, 2H), 7.45 - 7.38 (m, 3H), 7.23 (d, *J* = 8.6 Hz, 1H), 6.53 (s, 1H), 2.76 (s, 3H), 2.40 (s, 3H), 2.28 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.7, 142.2, 141.3, 137.5, 131.6, 130.2, 129.0, 127.7, 127.7, 125.6, 124.0, 113.5, 109.0, 39.8, 20.6, 15.6. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₁₈H₁₇NNaO₄S 366.0776; Found 366.0771.



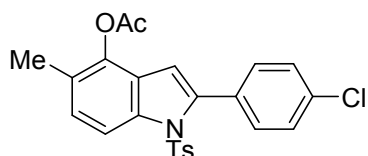
2-(2-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (6)

White liquid, yield 75% (34 mg). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.04 (d, *J* = 8.5 Hz, 1H), 7.46 - 7.30 (m, 6H), 7.21 (d, *J* = 8.5 Hz, 1H), 7.11 (d, *J* = 8.1 Hz, 2H), 6.46 (s, 1H), 2.32 (s, 3H), 2.30 (s, 3H), 2.24 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.8, 141.2, 137.4, 136.7, 135.1, 135.1, 132.9, 131.2, 130.2, 129.5, 129.2, 127.6, 126.9, 125.7, 124.8, 123.5, 113.2, 109.5, 21.5, 20.5, 15.6. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₄H₂₀ClNNaO₄S 476.0699; Found 476.0694.



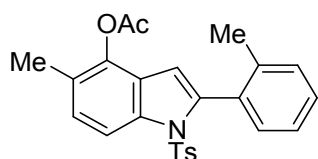
2-(3-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (7)

Yellow liquid, yield 73% (33 mg). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.07 (d, J = 8.6 Hz, 1H), 7.40 - 7.32 (m, 4H), 7.27 (d, J = 8.4 Hz, 2H), 7.22 (d, J = 8.6 Hz, 1H), 7.08 (d, J = 8.2 Hz, 2H), 6.39 (s, 1H), 2.33 (s, 3H), 2.31 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.5, 144.9, 141.1, 140.4, 137.7, 134.5, 133.7, 133.3, 129.9, 129.4, 128.8, 128.7, 128.6, 127.8, 126.7, 125.4, 123.9, 114.1, 110.0, 21.5, 20.5, 15.6. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{24}\text{H}_{20}\text{ClNNaO}_4\text{S}$ 476.0699; Found 476.0694.



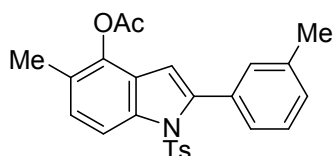
2-(4-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (8)

Amorphous white solid, yield 70% (32 mg). Mp.124.4 - 125.2 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, J = 8.5 Hz, 1H), 7.43 - 7.35 (m, 4H), 7.26 (d, J = 8.0 Hz, 2H), 7.21 (d, J = 8.6 Hz, 1H), 7.06 (d, J = 8.0 Hz, 2H), 6.36 (s, 1H), 2.33 (s, 3H), 2.30 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.9, 141.1, 140.9, 137.8, 134.9, 134.5, 131.6, 130.5, 129.4, 127.7, 127.7, 126.7, 125.4, 124.0, 114.2, 109.8, 21.5, 20.5, 15.7. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{24}\text{H}_{20}\text{ClNNaO}_4\text{S}$ 476.0699; Found 476.0694.



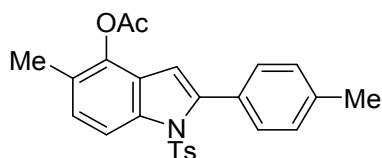
5-methyl-2-(*o*-tolyl)-1-tosyl-1H-indol-4-yl acetate (9)

Amorphous white solid, yield 78% (34 mg). Mp.115.7 - 116.5 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.10 (d, J = 8.5 Hz, 1H), 7.38 - 7.33 (m, 3H), 7.25-7.15 (m, 3H), 7.11 (d, J = 8.0 Hz, 2H), 7.06 (d, J = 7.6 Hz, 1H), 6.30 (s, 1H), 2.33 (s, 6H), 2.26 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.8, 141.0, 140.5, 139.4, 136.8, 135.5, 131.7, 130.9, 129.5, 129.4, 129.2, 127.2, 123.0, 124.7, 124.6, 123.7, 113.3, 108.1, 21.5, 20.5, 20.4, 15.7. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{25}\text{H}_{23}\text{NNaO}_4\text{S}$ 456.1245; Found 456.1240.



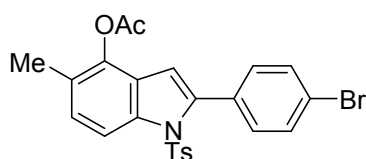
5-methyl-2-(*m*-tolyl)-1-tosyl-1H-indol-4-yl acetate (10)

Amorphous yellow solid, yield 66% (29 mg). Mp.55.7 - 56.3 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, J = 8.5 Hz, 1H), 7.30 - 7.23 (m, 6H), 7.20 (d, J = 8.9 Hz, 1H), 7.06 (d, J = 8.0 Hz, 2H), 6.35 (s, 1H), 2.40 (s, 3H), 2.33 (s, 3H), 2.31 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.6, 142.4, 141.0, 137.7, 136.9, 134.8, 131.9, 131.1, 129.5, 129.2, 127.6, 127.3, 126.8, 125.1, 124.1, 114.1, 109.1, 21.5, 21.3, 20.5, 15.7. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{25}\text{H}_{23}\text{NNaO}_4\text{S}$ 456.1245; Found 456.1240.



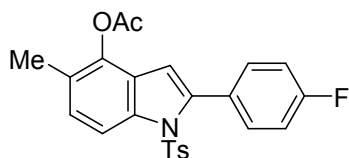
5-methyl-2-(*p*-tolyl)-1-tosyl-1*H*-indol-4-yl acetate (11)

Amorphous yellow solid, yield 65% (28 mg). Mp.57.4 - 58.2 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 (d, *J* = 8.5 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.27 (d, *J* = 8.4 Hz, 2H), 7.22 - 7.16 (m, 3H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.33 (s, 1H), 2.42 (s, 3H), 2.33 (s, 3H), 2.29 (s, 3H), 2.23 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.6, 142.4, 141.0, 138.8, 137.7, 134.7, 130.3, 129.3, 129.1, 128.2, 127.2, 126.8, 125.2, 124.2, 114.2, 109.1, 21.5, 21.4, 20.5, 15.7. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₅H₂₃NNaO₄S 456.1245; Found 456.1240.



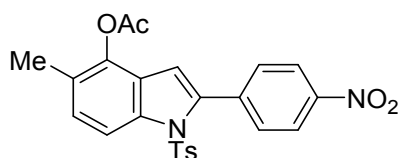
2-(4-bromophenyl)-5-methyl-1-tosyl-1*H*-indol-4-yl acetate (12)

Amorphous red solid, yield 68% (34 mg). Mp.169.7 – 170.5 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 (d, *J* = 8.5 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.3 Hz, 2H), 7.25 (d, *J* = 8.1 Hz, 2H), 7.21 (d, *J* = 8.6 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.37 (s, 1H), 2.32 (s, 3H), 2.29 (s, 3H), 2.23 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.5, 144.9, 141.1, 140.9, 137.7, 134.4, 131.8, 130.9, 130.7, 129.4, 127.7, 126.7, 125.4, 124.0, 123.2, 114.2, 109.8, 21.5, 20.5, 15.7. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₄H₂₀BrNO₄SNa 520.0194; Found 520.0186.



2-(4-fluorophenyl)-5-methyl-1-tosyl-1*H*-indol-4-yl acetate (13)

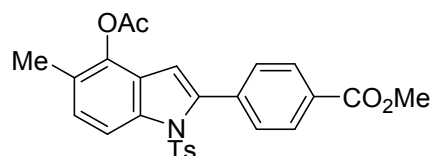
Amorphous white solid, yield 80% (35 mg). Mp.155.0 - 155.8 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, *J* = 8.6 Hz, 1H), 7.44 - 7.39 (m, 2H), 7.26 - 7.24 (m, 2H), 7.21 (dd, *J* = 8.5, 0.7 Hz, 1H), 7.11 - 7.05 (m, 4H), 6.34 (s, 1H), 2.33 (s, 3H), 2.30 (s, 3H), 2.24 (s, 3H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 163.1 (d, *J* = 249.0 Hz), 144.8, 141.1, 141.0, 137.7, 134.7, 132.3 (d, *J* = 8.2 Hz), 129.4, 128.0, 127.6, 126.7, 125.3, 124.0, 114.5 (d, *J* = 21.6 Hz), 114.1, 109.4, 21.5, 20.5, 15.7. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₄H₂₀FNNaO₄S 460.0995; Found 460.0990.



5-methyl-2-(4-nitrophenyl)-1-tosyl-1*H*-indol-4-yl acetate (14)

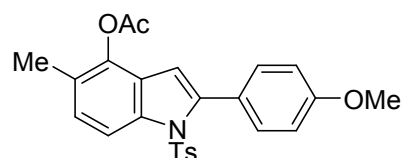
Amorphous yellow solid, yield 55% (26 mg). Mp.195.2 - 196.0 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.28 (d, *J* = 8.7 Hz, 2H), 8.08 (d, *J* = 8.5 Hz, 1H), 7.768 (d, *J* = 8.7 Hz, 2H), 7.28 - 7.23 (m, 3H), 7.08 (d, *J* = 8.0 Hz, 2H), 6.51 (s, 1H), 2.35 (s, 3H), 2.31 (s, 3H), 2.25 (s, 3H). ¹³C NMR (101 MHz,

Chloroform-*d*) δ 168.5, 147.7, 145.2, 141.4, 139.7, 138.5, 138.2, 134.0, 130.9, 129.5, 128.6, 126.6, 125.9, 124.0, 122.8, 114.3, 111.8, 21.5, 20.5, 15.7. HRMS (ESI) m/z : $[M + Na]^+$ calculated for $C_{24}H_{20}N_2NaO_6S$ 487.0940; Found 487.0935.



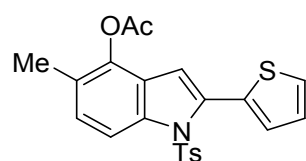
Methyl 4-(4-acetoxy-5-methyl-1-tosyl-1H-indol-2-yl)benzoate (15)

Amorphous White solid, yield 50% (24 mg). Mp.211.6 - 212.1 °C. 1H NMR (400 MHz, Chloroform-*d*) δ 8.09-8.07 (m, 3H), 7.56 (d, $J = 8.0$ Hz, 2H), 7.27 - 7.21 (m, 3H), 7.06 (d, $J = 8.1$ Hz, 2H), 6.44 (s, 1H), 3.96 (s, 3H), 2.33 (s, 3H), 2.29 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.5, 166.7, 144.9, 141.2, 141.1, 138.0, 136.5, 134.3, 130.2, 130.1, 129.4, 128.7, 128.0, 126.7, 125.5, 124.1, 114.2, 110.6, 52.2, 21.5, 20.5, 15.7. HRMS (ESI) m/z : $[M + Na]^+$ calculated for $C_{26}H_{23}NNaO_6S$ 500.1144; Found 500.1139.



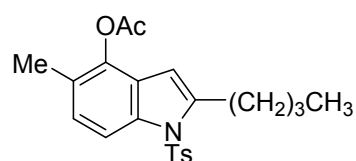
2-(4-methoxyphenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (16)

Amorphous yellow solid, yield 57% (26 mg). Mp.99.1 - 100.0 °C. 1H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, $J = 8.5$ Hz, 1H), 7.37 (d, $J = 8.8$ Hz, 2H), 7.26 (d, $J = 8.1$ Hz, 2H), 7.18 (d, $J = 8.6$ Hz, 1H), 7.05 (d, $J = 8.1$ Hz, 2H), 6.93 (d, $J = 8.8$ Hz, 2H), 6.30 (s, 1H), 3.87 (s, 3H), 2.32 (s, 3H), 2.29 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.6, 160.1, 144.6, 142.2, 140.9, 137.6, 134.8, 131.8, 129.3, 127.1, 126.8, 125.2, 124.3, 124.2, 114.2, 112.9, 108.7, 55.3, 21.5, 20.5, 15.7. HRMS (ESI) m/z : $[M + Na]^+$ calculated for $C_{25}H_{23}NNaO_5S$ 472.1195; Found 472.1190.



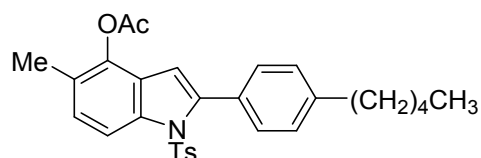
5-methyl-2-(thiophen-2-yl)-1-tosyl-1H-indol-4-yl acetate (17)

Green liquid, yield 58% (25 mg). 1H NMR (400 MHz, Chloroform-*d*) δ 8.10 (d, $J = 8.5$ Hz, 1H), 7.39 (d, $J = 5.0$ Hz, 1H), 7.32 (d, $J = 8.0$ Hz, 2H), 7.29 (d, $J = 3.4$ Hz, 1H), 7.21 (d, $J = 8.6$ Hz, 1H), 7.11 - 7.05 (m, 3H), 6.46 (s, 1H), 2.34 (s, 3H), 2.30 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.8, 141.0, 137.7, 134.9, 134.1, 131.8, 130.9, 129.4, 127.8, 127.2, 126.9, 126.8, 125.1, 123.5, 114.0, 110.2, 21.5, 20.5, 15.6. HRMS (ESI) m/z : $[M + Na]^+$ calculated for $C_{22}H_{19}NNaO_4S_2$ 448.0653; Found 448.0648.

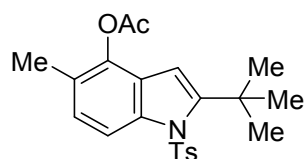


2-butyl-5-methyl-1-tosyl-1H-indol-4-yl acetate (18)

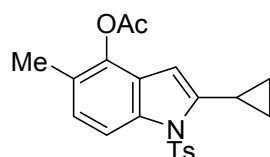
Amorphous brown solid, yield 60% (24 mg). Mp.164.0 - 164.7 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.5 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.19 (d, *J* = 8.1 Hz, 2H), 7.09 (d, *J* = 8.6 Hz, 1H), 6.19 (d, *J* = 1.0 Hz, 1H), 2.94 (t, *J* = 7.2 Hz, 2H), 2.37 (s, 3H), 2.34 (s, 3H), 2.21 (s, 3H), 1.70 (m, 2H), 1.43 (m, 2H), 0.95 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.7, 144.7, 142.9, 140.6, 136.8, 136.1, 129.8, 126.3, 126.3, 124.3, 123.4, 112.5, 104.3, 30.8, 28.7, 22.5, 21.5, 20.6, 15.6, 13.9. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₂H₂₅NNaO₄S 422.1402; Found 422.1397.

**5-methyl-2-(4-pentylphenyl)-1-tosyl-1H-indol-4-yl acetate (19)**

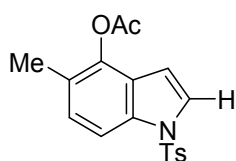
Yellow liquid, yield 67% (28 mg). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 (d, *J* = 8.5 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 2H), 7.26 (d, *J* = 7.8 Hz, 2H), 7.23 - 7.15 (m, 3H), 7.04 (d, *J* = 8.0 Hz, 2H), 6.33 (s, 1H), 2.67 (t, *J* = 7.7 Hz, 2H), 2.32 (s, 3H), 2.29 (s, 3H), 2.23 (s, 3H), 1.68 (m, 2H), 1.40 - 1.33 (m, 4H), 0.92 (t, *J* = 6.6 Hz, 3H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 144.6, 143.8, 142.5, 141.0, 137.7, 134.8, 130.3, 129.2, 129.2, 127.5, 127.2, 126.8, 125.1, 124.2, 114.2, 109.0, 35.8, 31.5, 31.0, 22.5, 21.5, 20.5, 15.7, 14.0. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₄H₂₀NNaO₄S 441.1011; Found 441.1006.

**2-(tert-butyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (20)**

Amorphous green solid, yield 67% (27 mg). Mp.45.7 - 46.5 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 8.6 Hz, 1H), 7.42 (d, *J* = 8.4 Hz, 2H), 7.12 (d, *J* = 8.3 Hz, 2H), 7.02 (d, *J* = 8.6 Hz, 1H), 6.40 (s, 1H), 2.38 (s, 3H), 2.30 (s, 3H), 2.17 (s, 3H), 1.56 (s, 9H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.7, 153.1, 144.2, 140.8, 138.6, 136.9, 129.6, 126.7, 125.9, 124.4, 122.7, 113.7, 106.2, 35.0, 31.2, 21.4, 20.6, 15.6. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₂H₂₅NNaO₄S 422.1402; Found 422.1397.

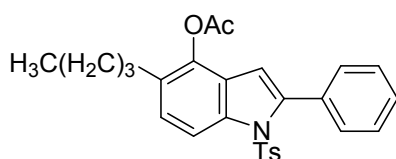
**2-cyclopropyl-5-methyl-1-tosyl-1H-indol-4-yl acetate (21)**

Amorphous brown solid, yield 52% (20 mg). Mp.42.0 - 42.7 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 7.98 (d, *J* = 8.6 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 8.1 Hz, 2H), 7.10 (d, *J* = 8.6 Hz, 1H), 5.99 (s, 1H), 2.44 - 2.37 (m, 1H), 2.35 (s, 3H), 2.35 (s, 3H), 2.21 (s, 3H), 0.98 - 0.91 (m, 2H), 0.61 - 0.55 (m, 2H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.7, 144.7, 144.4, 140.7, 137.0, 136.4, 129.7, 126.7, 126.4, 124.2, 122.9, 112.2, 101.9, 21.5, 20.6, 15.6, 9.4, 8.3. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₁H₂₁NNaO₄S 406.1089; Found 406.1084.



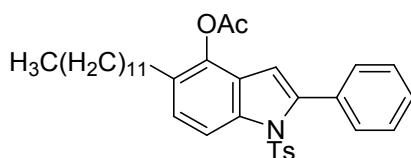
5-methyl-1-tosyl-1H-indol-4-yl acetate (22)

Amorphous white solid, yield 56% (19 mg). Mp.114.7 - 115.2 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 7.79 - 7.72 (m, 3H), 7.50 (d, *J* = 3.7 Hz, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 1H), 6.47 (d, *J* = 3.7 Hz, 1H), 2.36 (s, 3H), 2.32 (s, 3H), 2.21 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.6, 145.1, 141.5, 135.1, 134.4, 129.9, 127.4, 126.8, 126.5, 124.4, 124.2, 111.2, 105.1, 21.5, 20.5, 15.6. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₁₈H₁₇NNaO₄S 366.0776; Found 366.0771.



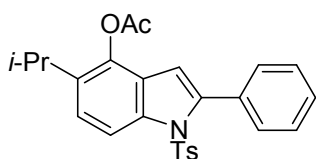
5-butyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (23)

Amorphous white solid, yield 63% (29 mg). Mp.117.2 - 118.0 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.11 (d, *J* = 8.5 Hz, 1H), 7.47 - 7.36 (m, 5H), 7.27 (d, *J* = 8.1 Hz, 2H), 7.21 (d, *J* = 8.6 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.33 (s, 1H), 2.57 (t, *J* = 7.7 Hz, 2H), 2.33 (s, 3H), 2.30 (s, 3H), 1.56 (m, 2H), 1.35 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) ¹³C NMR (101 MHz, CDCl₃) δ 168.9, 144.7, 142.1, 140.7, 137.6, 134.8, 132.0, 130.5, 129.8, 129.3, 128.8, 127.4, 126.8, 126.6, 124.1, 114.2, 109.5, 32.5, 29.4, 22.5, 21.5, 20.6, 13.9. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₇H₂₇NNaO₄S 484.1558; Found 484.1553.



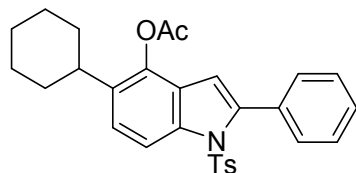
5-dodecyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (24)

White liquid, yield 55% (22 mg). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.11 (d, *J* = 8.5 Hz, 1H), 7.47 - 7.35 (m, 5H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.21 (d, *J* = 8.6 Hz, 1H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.33 (s, 1H), 2.56 (t, *J* = 7.7 Hz, 2H), 2.32 (s, 3H), 2.29 (s, 3H), 1.63 - 1.52 (m, 2H), 1.31 - 1.24 (m, 18H), 0.88 (t, *J* = 6.7 Hz, 3H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.8, 144.7, 142.1, 140.7, 137.6, 134.8, 132.0, 130.5, 129.8, 129.3, 128.7, 127.4, 126.8, 126.6, 124.1, 114.2, 109.5, 31.9, 30.3, 29.8, 29.6, 29.6, 29.6, 29.4, 29.4, 29.3, 22.7, 21.5, 20.6, 14.1. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₃₅H₄₃NNaO₄S 596.2810; Found 596.2805.



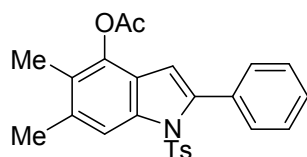
5-isopropyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (25)

Amorphous yellow solid, yield 84% (36 mg). Mp.137.5 - 138.2 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.15 (d, *J* = 8.8 Hz, 1H), 7.44 - 7.35 (m, 5H), 7.31 - 7.26 (m, 3H), 7.06 (d, *J* = 8.1 Hz, 2H), 6.32 (d, *J* = 0.7 Hz, 1H), 3.08 (hept, *J* = 6.9 Hz, 1H), 2.33 (s, 3H), 2.30 (s, 3H), 1.25 (d, *J* = 6.9 Hz, 6H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 169.0, 144.7, 142.0, 139.8, 137.3, 135.4, 135.0, 132.0, 130.5, 129.3, 128.7, 127.4, 126.9, 123.9, 123.1, 114.5, 109.4, 27.2, 23.3, 21.5, 20.6. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₆H₂₅NNaO₄S 470.1402; Found 470.1396.



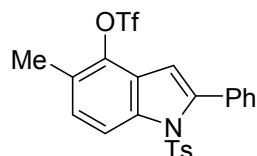
5-cyclohexyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (26)

Amorphous white solid, yield 56% (19 mg). Mp.114.7 - 115.2 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.14 (d, *J* = 8.0 Hz, 1H), 7.43 - 7.37 (m, 5H), 7.29-7.26 (m, 3H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.32 (s, 1H), 2.68-2.62 (m, 1H), 2.34 (s, 3H), 2.31 (s, 3H), 1.87-1.75 (m, 4H), 1.55-1.23 (m, 6H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 169.09, 144.66, 141.93, 139.90, 137.24, 134.98, 134.54, 132.00, 130.52, 129.32, 128.74, 127.38, 126.88, 123.88, 123.68, 114.39, 109.47, 37.78, 33.60, 27.02, 26.15, 21.53, 20.63. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₉H₂₉NNaO₄S 510.1715; Found 510.1710.



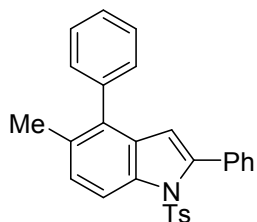
5,6-dimethyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (27)

Amorphous yellow solid, yield 75% (33 mg). Mp.181.7 - 182.2 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.02 (s, 1H), 7.47 - 7.35 (m, 5H), 7.26 (d, *J* = 8.4 Hz, 2H), 7.05 (d, *J* = 7.9 Hz, 2H), 6.32 (s, 1H), 2.45 (s, 3H), 2.33 (s, 3H), 2.29 (s, 3H), 2.12 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.8, 144.6, 141.3, 140.9, 137.2, 135.1, 134.8, 132.1, 130.4, 129.3, 128.6, 127.4, 126.8, 124.4, 122.0, 115.1, 109.5, 21.5, 21.1, 20.6, 12.4. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₅H₂₃NNaO₄S 456.1245; Found 456.1240.



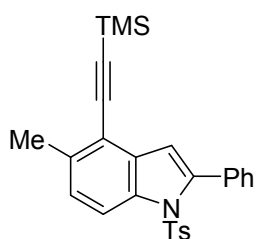
5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate (28)

Amorphous white solid, yield 58% (30 mg). Mp.113.9 - 114.7 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.23 (d, *J* = 8.5 Hz, 1H), 7.50 - 7.38 (m, 5H), 7.27 - 7.20 (m, 3H), 7.07 (d, *J* = 8.0 Hz, 2H), 6.60 (s, 1H), 2.44 (s, 3H), 2.31 (s, 3H); ¹³C NMR (101 MHz, Chloroform-*d*) δ 145.1, 143.5, 139.0, 138.1, 134.4, 131.3, 130.6, 129.4, 129.2, 127.8, 127.5, 126.8, 126.4, 124.3, 118.6 (q, *J* = 319.8 Hz), 116.4, 108.7, 21.5, 16.1. HRMS (ESI) *m/z*: [M + Na]⁺ calculated for C₂₃H₁₈F₃NNaO₅S₂ 532.0476; Found 532.0471.



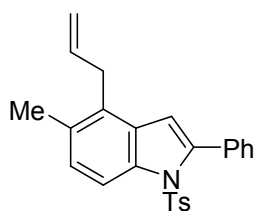
5-methyl-2,4-diphenyl-1-tosyl-1H-indole (29)

Amorphous white solid, yield 74% (32 mg). Mp.165.3 - 166.0 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, J = 8.5 Hz, 1H), 7.44 - 7.23 (m, 13H), 7.05 (d, J = 8.0 Hz, 2H), 6.24 (s, 1H), 2.29 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.4, 141.8, 138.7, 136.4, 134.8, 133.6, 132.4, 131.1, 130.4, 130.2, 129.7, 129.2, 128.5, 128.2, 127.3, 127.2, 127.0, 126.8, 115.2, 113.3, 21.5, 19.7. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{28}\text{H}_{23}\text{NNaO}_2\text{S}$ 460.1347; Found 460.1342.



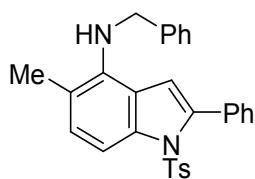
5-methyl-2-phenyl-1-tosyl-4-((trimethylsilyl)ethynyl)-1H-indole (30)

Amorphous white solid, yield 55% (25 mg). Mp.136.5 - 137.2 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.15 (d, J = 8.5 Hz, 1H), 7.56 - 7.42 (m, 5H), 7.24 (d, J = 8.0 Hz, 2H), 7.17 (d, J = 8.5 Hz, 1H), 7.03 (d, J = 8.0 Hz, 2H), 6.68 (s, 1H), 2.50 (s, 3H), 2.28 (s, 3H), 0.24 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.6, 142.6, 137.0, 136.0, 134.5, 132.7, 132.3, 130.4, 129.2, 128.7, 127.5, 126.8, 126.2, 116.7, 114.3, 113.2, 101.8, 101.3, 21.5, 20.1, 0.1. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{27}\text{H}_{27}\text{NNaO}_2\text{SSi}$ 480.1429; Found 480.1424.



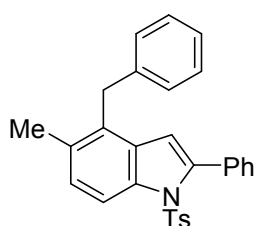
4-allyl-5-methyl-2-phenyl-1-tosyl-1H-indole (31)

Amorphous yellow solid, yield 82% (33 mg). Mp.126.9 - 127.8 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.05 (d, J = 8.5 Hz, 1H), 7.53 - 7.39 (m, 5H), 7.27 (d, J = 8.0 Hz, 2H), 7.15 (d, J = 8.4 Hz, 1H), 7.03 (d, J = 8.0 Hz, 2H), 6.54 (s, 1H), 5.85 (ddt, J = 16.3, 11.0, 5.8 Hz, 1H), 4.92 (d, J = 10.1 Hz, 1H), 4.76 (d, J = 17.1 Hz, 1H), 3.48 (d, J = 5.8 Hz, 2H), 2.34 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 141.8, 136.8, 135.4, 134.6, 132.6, 131.9, 130.6, 130.2, 129.3, 129.1, 128.5, 127.4, 127.4, 126.8, 115.2, 114.5, 112.3, 33.8, 21.5, 18.7. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{25}\text{H}_{23}\text{NNaO}_2\text{S}$ 424.1347; Found 424.1342.



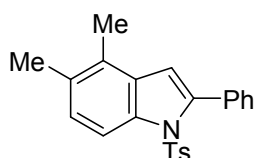
N-benzyl-5-methyl-2-phenyl-1-tosyl-1H-indol-4-amine (32)

Amorphous green solid, yield 52% (24 mg). Mp.149.3 - 150.2 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, J = 8.4 Hz, 1H), 7.51 - 7.39 (m, 5H), 7.31 - 7.21 (m, 7H), 7.09 - 7.02 (m, 3H), 6.65 (s, 1H), 4.45 (s, 2H), 2.29 (s, 3H), 2.16 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.2, 140.2, 139.9, 139.4, 138.8, 134.5, 132.9, 130.1, 129.1, 128.6, 128.3, 127.8, 127.6, 127.4, 127.4, 126.9, 120.8, 119.9, 112.4, 108.3, 52.5, 21.5, 17.5. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{29}\text{H}_{26}\text{N}_2\text{NaO}_2\text{S}$ 489.1613; Found 489.1608.



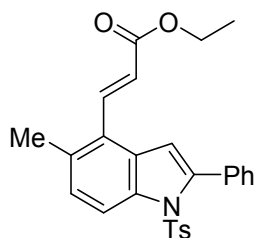
4-benzyl-5-methyl-2-phenyl-1-tosyl-1H-indole (33)

Amorphous yellow solid, yield 78% (35 mg). Mp.142.6 - 143.5 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.10 (d, J = 8.5 Hz, 1H), 7.51 - 7.38 (m, 5H), 7.26 (d, J = 8.0 Hz, 2H), 7.20 - 7.10 (m, 4H), 7.03 (d, J = 8.0 Hz, 2H), 6.90 (d, J = 8.0 Hz, 2H), 6.52 (s, 1H), 4.11 (s, 2H), 2.30 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 142.3, 139.8, 137.0, 134.4, 132.6, 132.6, 131.4, 130.1, 130.1, 129.0, 128.5, 128.3, 128.0, 127.6, 127.4, 126.8, 125.8, 114.9, 112.7, 35.2, 21.5, 19.1. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{29}\text{H}_{25}\text{NNaO}_2\text{S}$ 474.1504; Found 474.1499.



4,5-dimethyl-2-phenyl-1-tosyl-1H-indole (34)

Amorphous white solid, yield 81% (30 mg). Mp.146.8 - 147.5 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.02 (d, J = 8.4 Hz, 1H), 7.52 - 7.40 (m, 5H), 7.28 (d, J = 8.2 Hz, 2H), 7.13 (d, J = 8.5 Hz, 1H), 7.03 (d, J = 8.1 Hz, 2H), 6.56 (s, 1H), 2.32 (s, 3H), 2.30 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 141.4, 136.4, 134.7, 132.7, 131.8, 130.5, 130.2, 129.1, 128.4, 127.9, 127.4, 127.0, 126.8, 113.6, 112.5, 21.5, 19.3, 15.2. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{23}\text{H}_{21}\text{NNaO}_2\text{S}$ 398.1191; Found 398.1185.



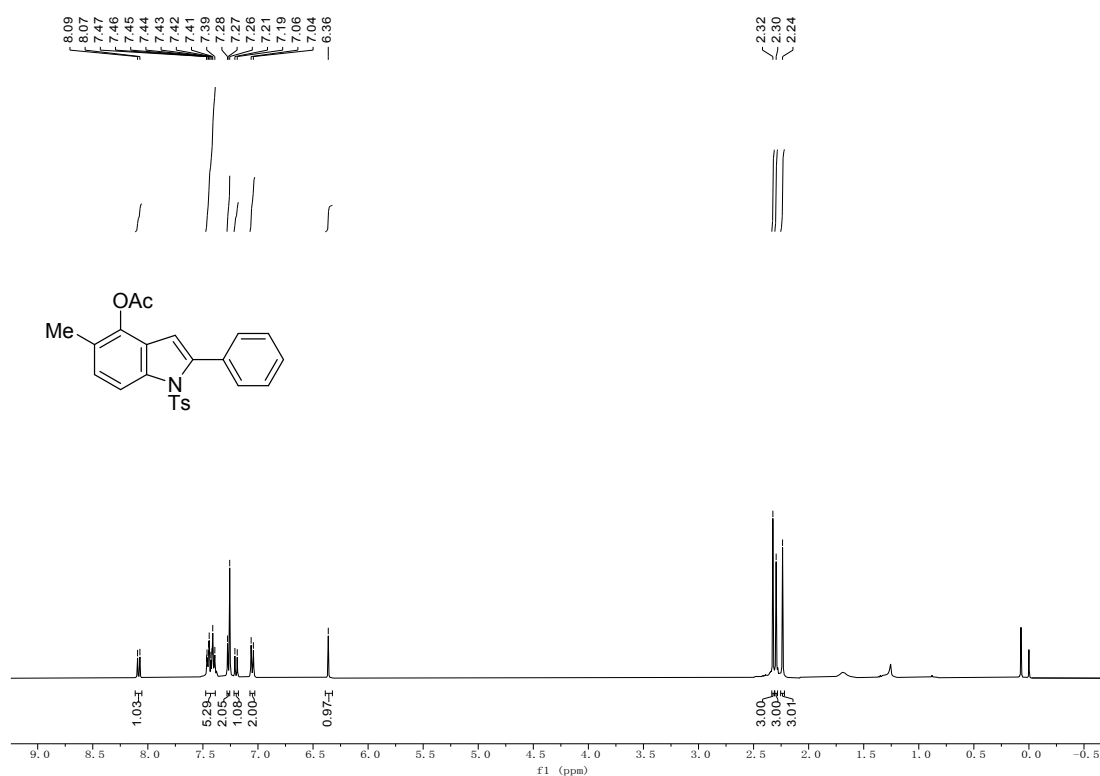
1-(5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl)pent-1-en-3-one (35)

Amorphous yellow solid, yield 77% (35 mg). Mp. 136.7 - 137.2 °C. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.21 (d, $J = 8.5$ Hz, 1H), 7.98 (d, $J = 16.2$ Hz, 1H), 7.51 - 7.41 (m, 5H), 7.26 (d, $J = 8.1$ Hz, 2H), 7.20 (d, $J = 8.5$ Hz, 1H), 7.05 (d, $J = 8.0$ Hz, 2H), 6.77 (s, 1H), 6.30 (d, $J = 16.2$ Hz, 1H), 4.25 (q, $J = 7.1$ Hz, 2H), 2.47 (s, 3H), 2.29 (s, 3H), 1.32 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.9, 144.7, 143.1, 141.3, 137.1, 134.5, 133.7, 132.1, 130.3, 129.3, 129.3, 128.8, 127.5, 127.4, 126.8, 125.9, 122.5, 117.4, 112.5, 60.6, 21.5, 20.1, 14.3. HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ calculated for $\text{C}_{28}\text{H}_{27}\text{NNaO}_4$ 496.1558; Found 496.1553.

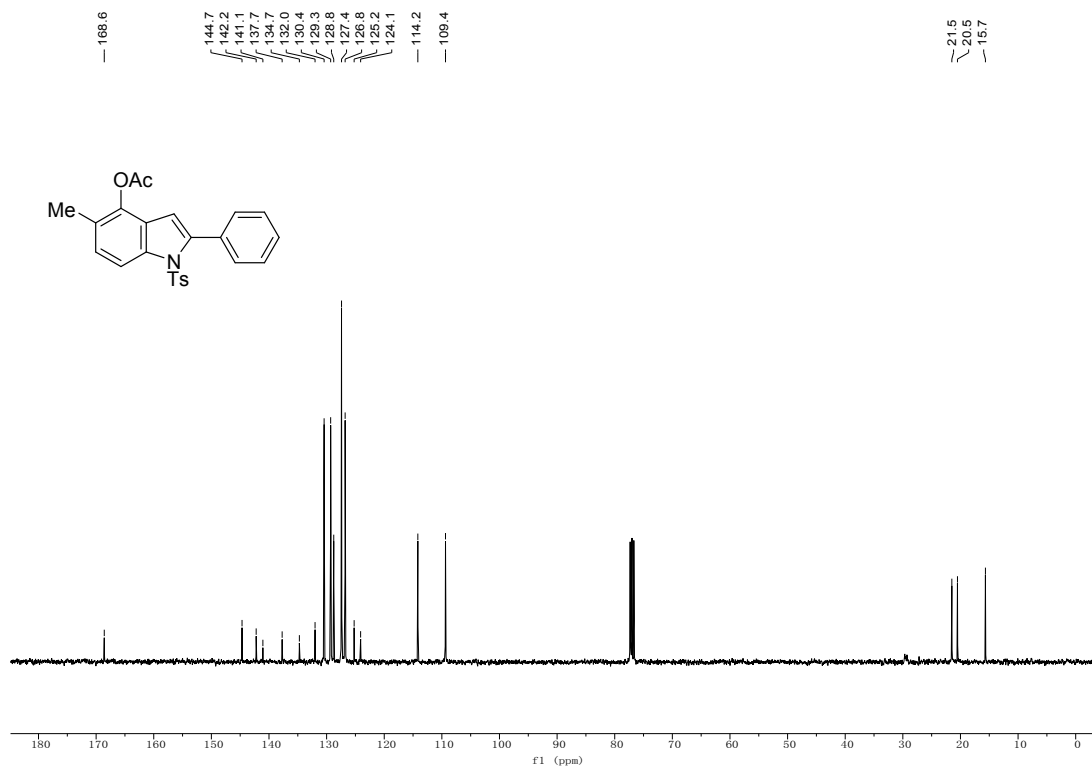
Copies of ^1H and ^{13}C spectra of products **2-35**

5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (2c)

^1H NMR (400 MHz, CDCl_3)

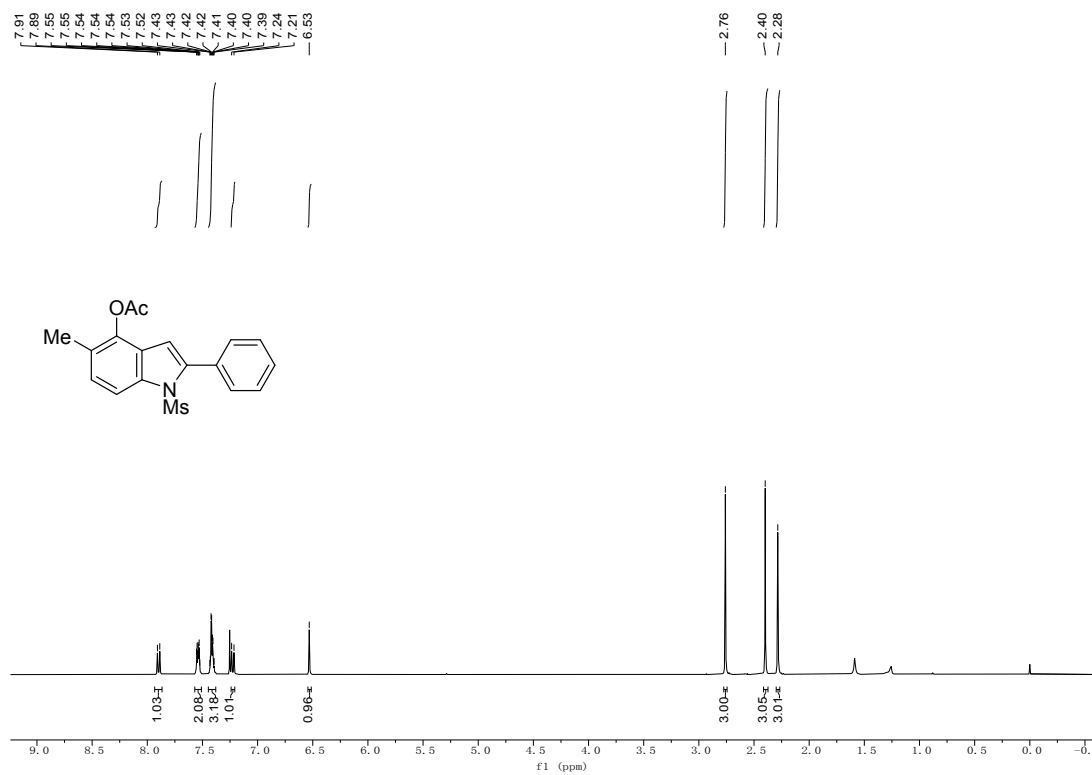


^{13}C NMR (101 MHz, CDCl_3)

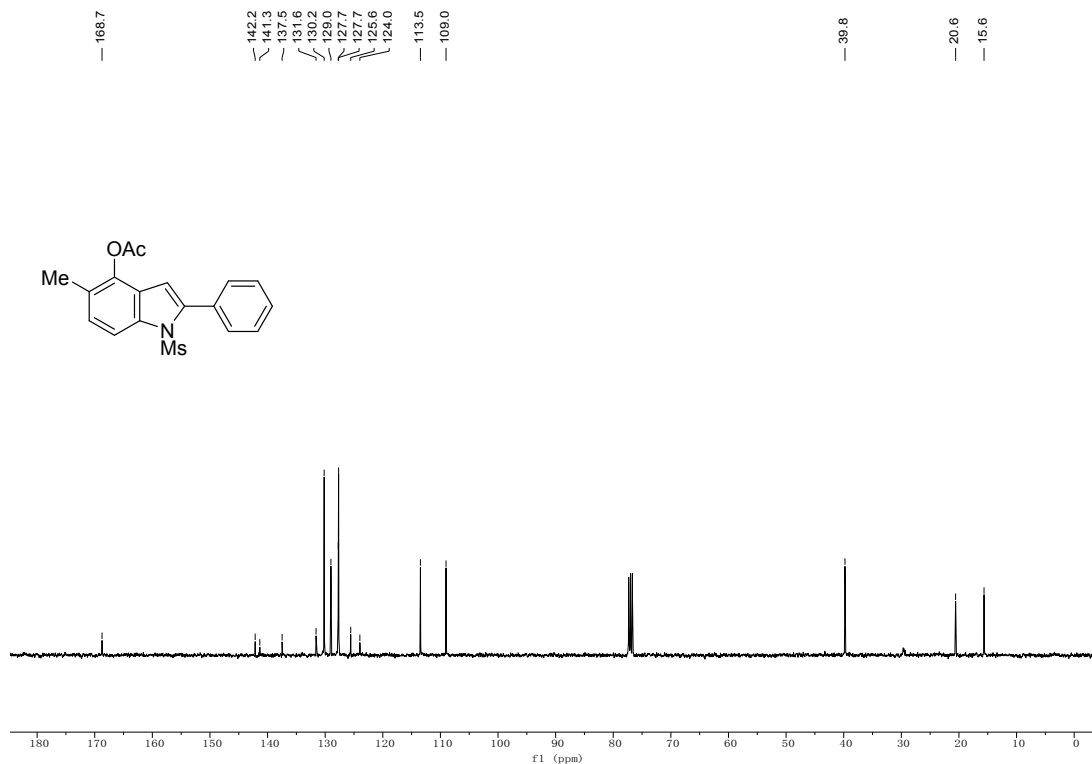


5-methyl-1-(methylsulfonyl)-2-phenyl-1H-indol-4-yl acetate (3)

^1H NMR (400 MHz, CDCl_3)

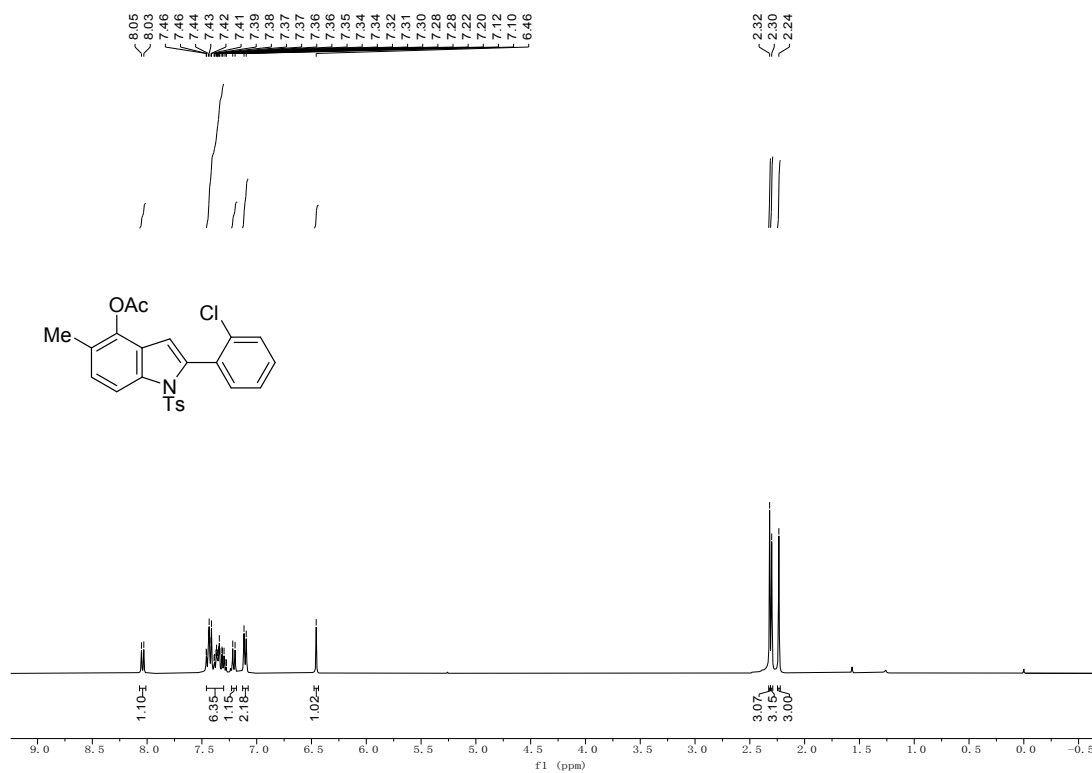


^{13}C NMR (101 MHz, CDCl_3)

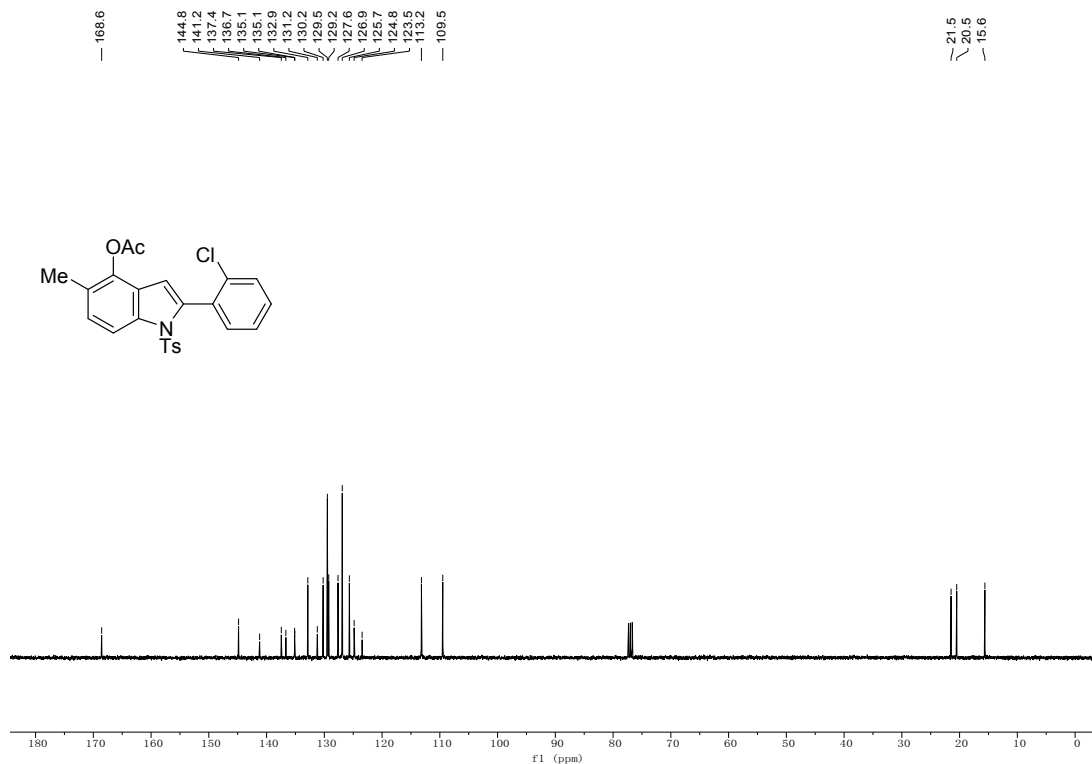


2-(2-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (6)

¹H NMR (400 MHz, CDCl₃)

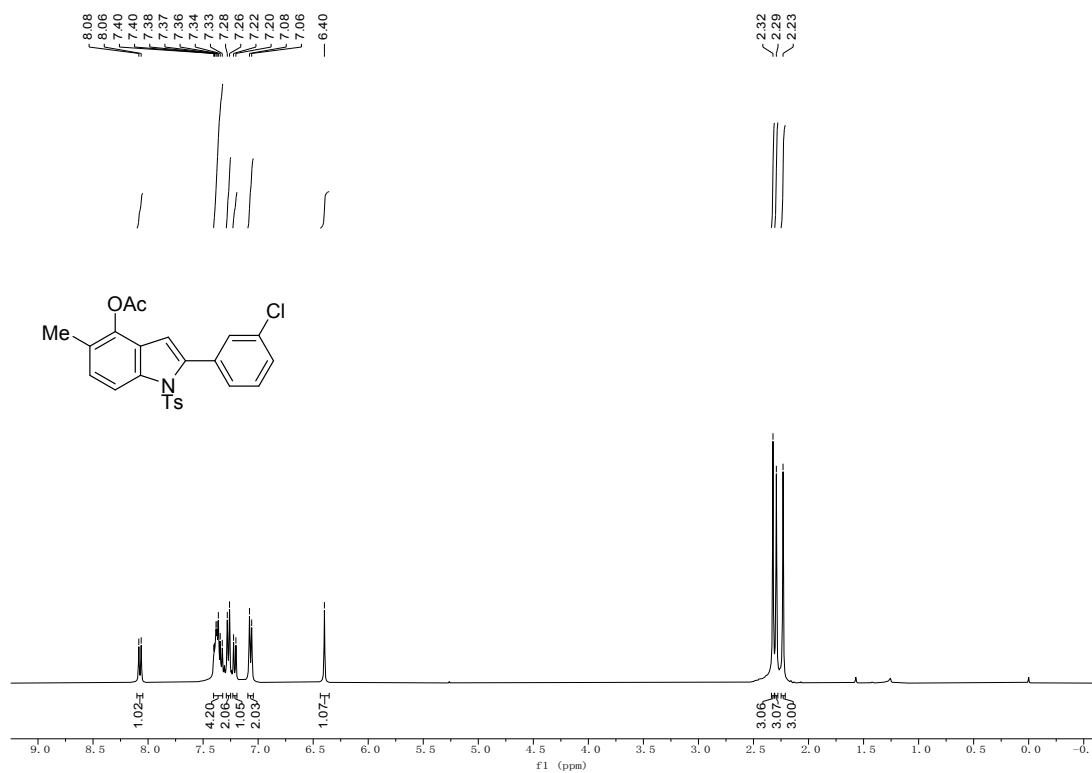


¹³C NMR (101 MHz, CDCl₃)

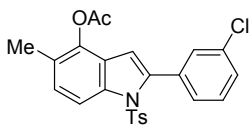
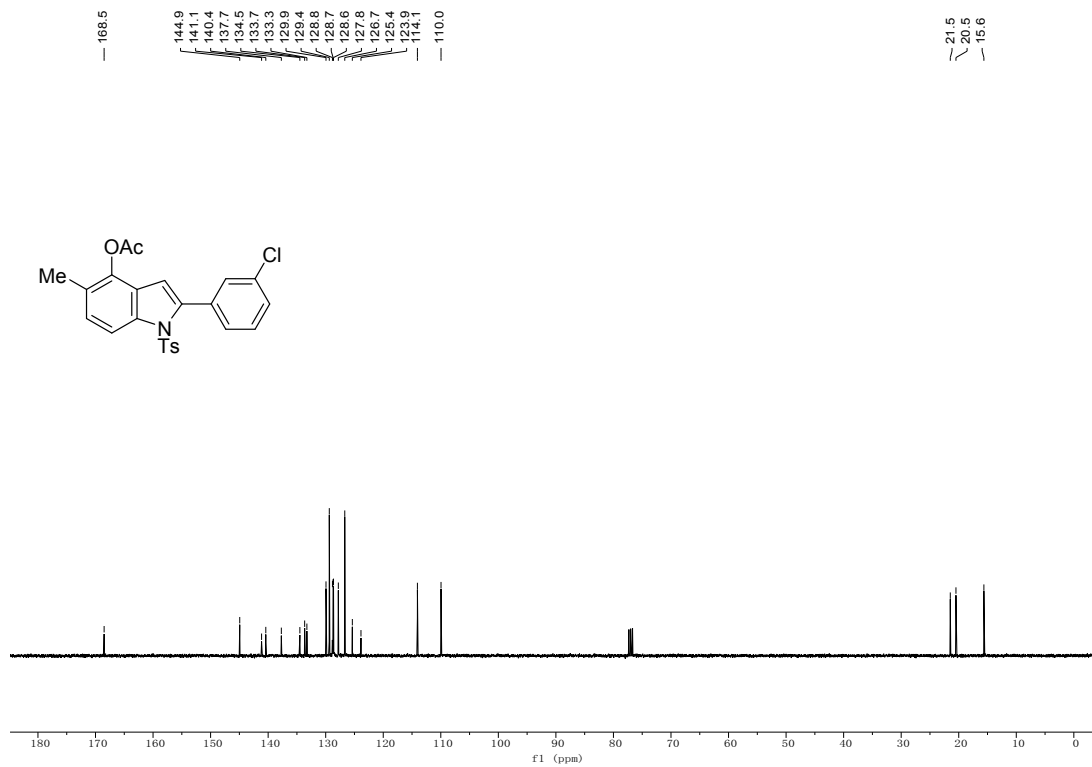


2-(3-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (7)

^1H NMR (400 MHz, CDCl_3)

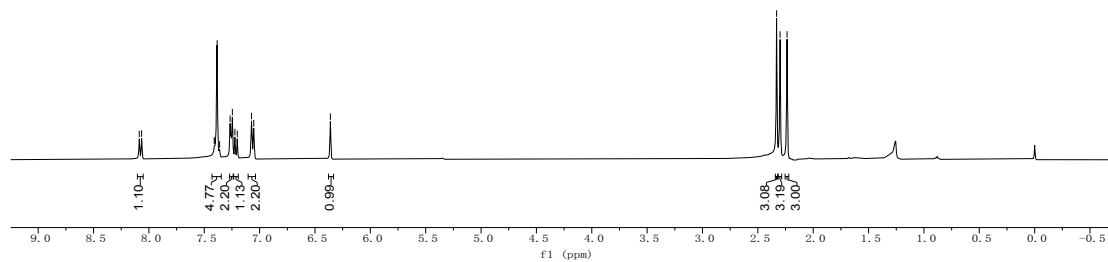
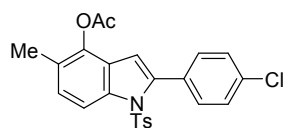


^{13}C NMR (101 MHz, CDCl_3)

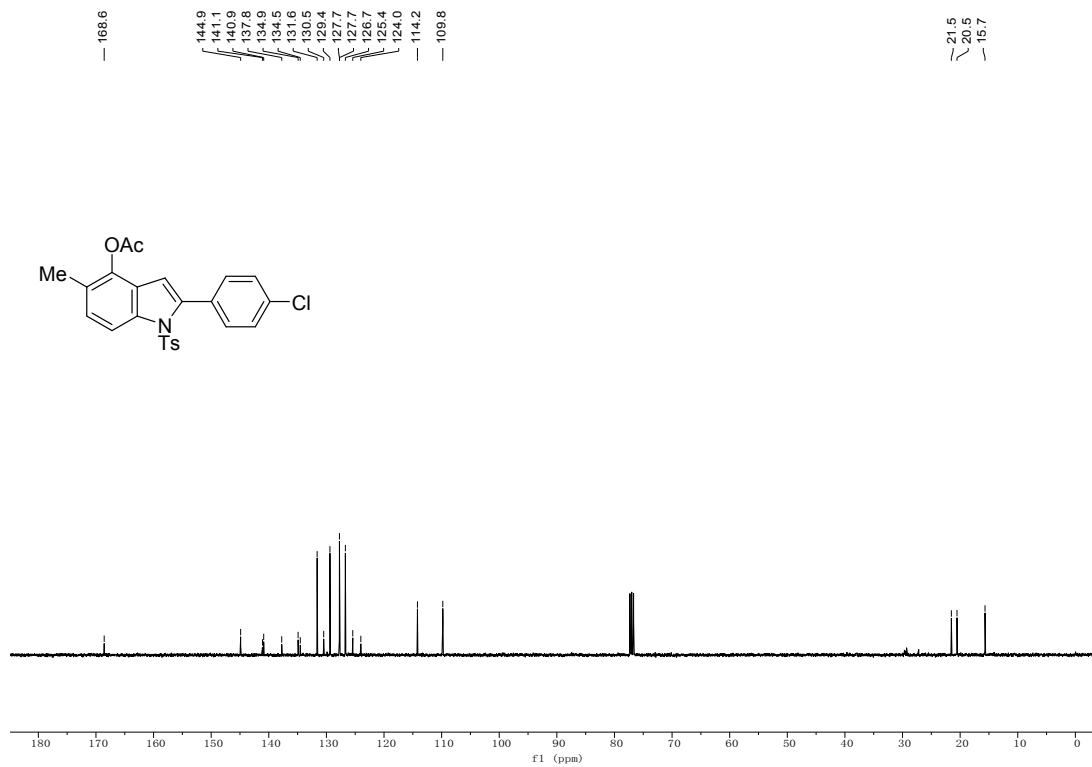


2-(4-chlorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (8)

¹H NMR (400 MHz, CDCl₃)

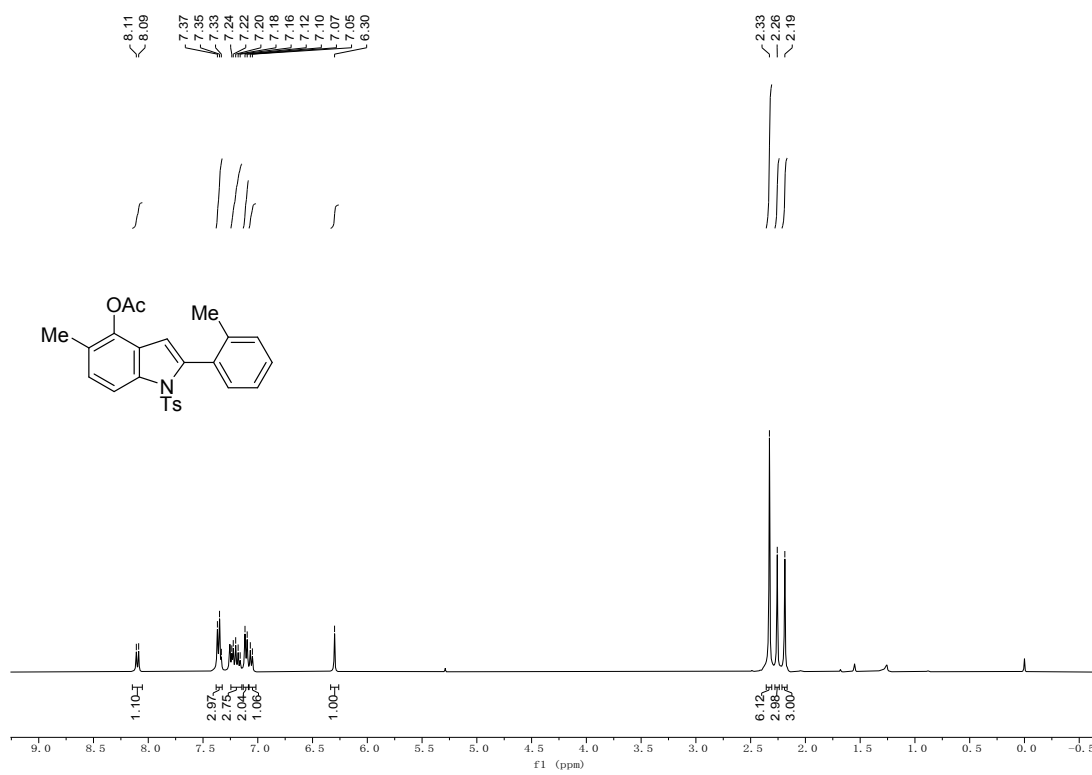


¹³C NMR (101 MHz, CDCl₃)

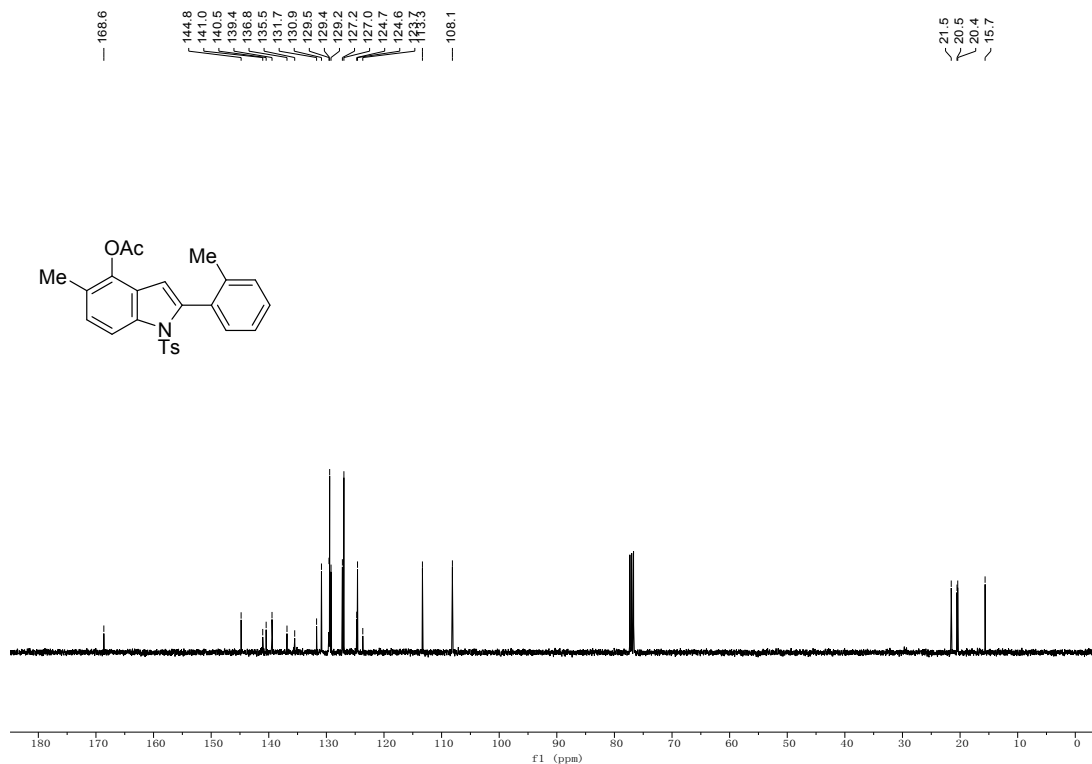


5-methyl-2-(*o*-tolyl)-1-tosyl-1H-indol-4-yl acetate (9)

¹H NMR (400 MHz, CDCl₃)

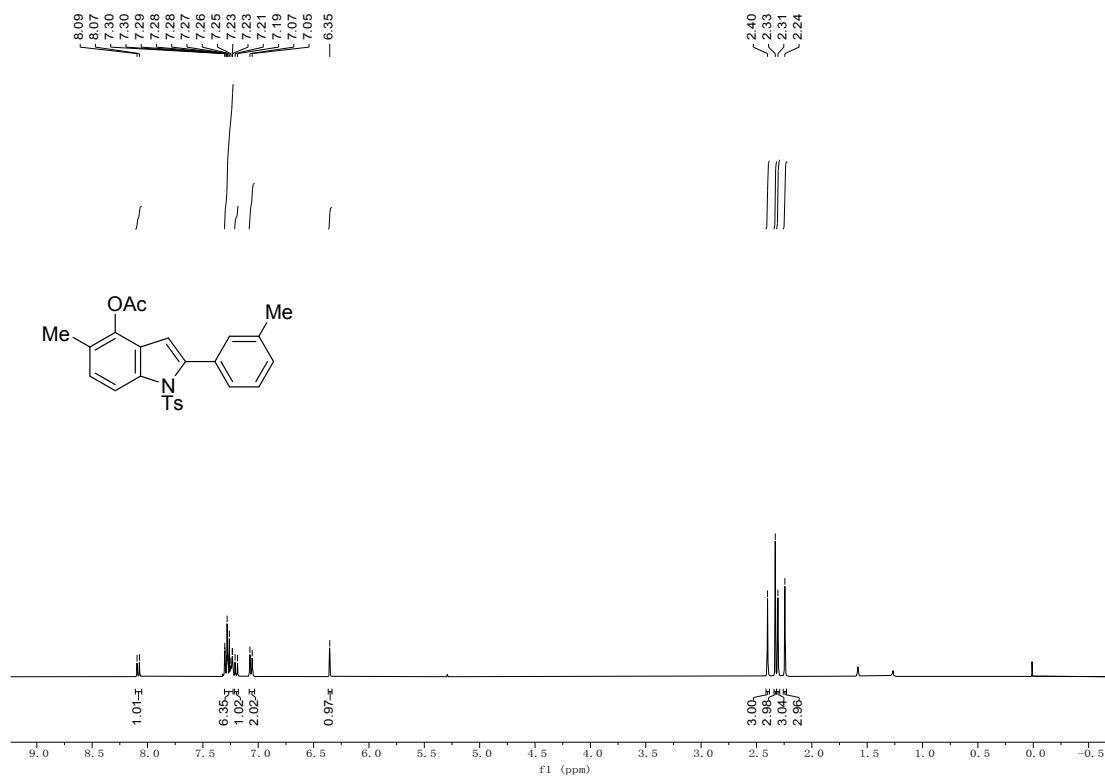


¹³C NMR (101 MHz, CDCl₃)

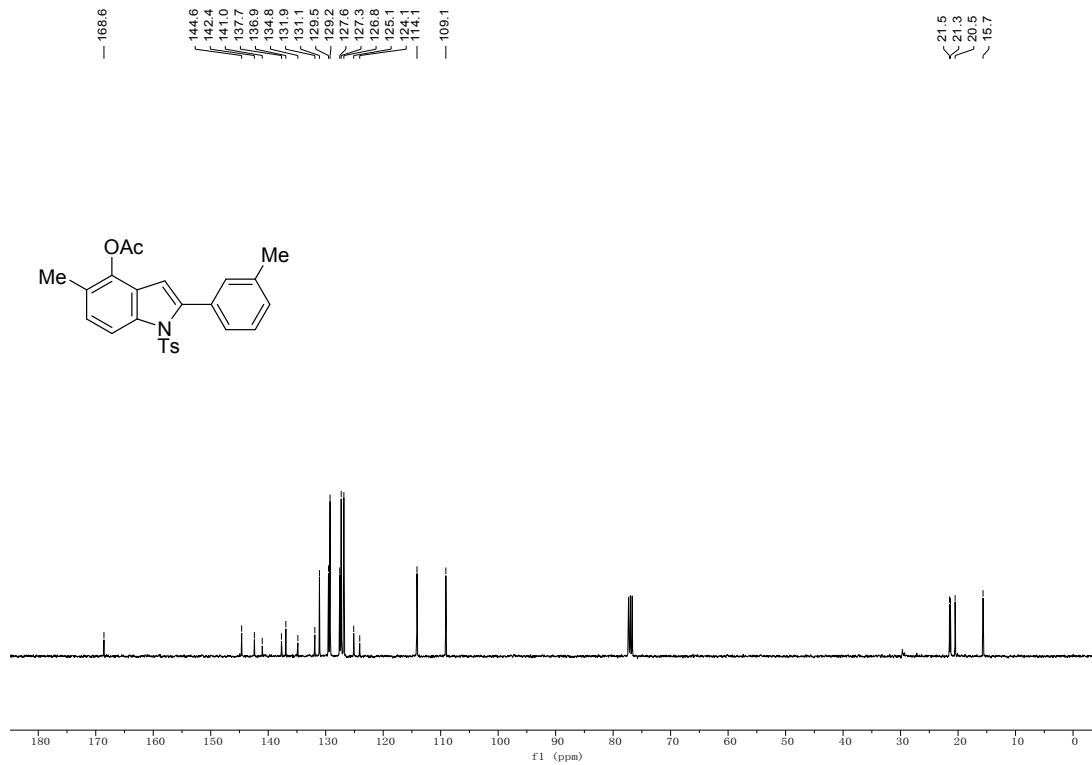


5-methyl-2-(*m*-tolyl)-1-tosyl-1H-indol-4-yl acetate (10)

¹H NMR (400 MHz, CDCl₃)

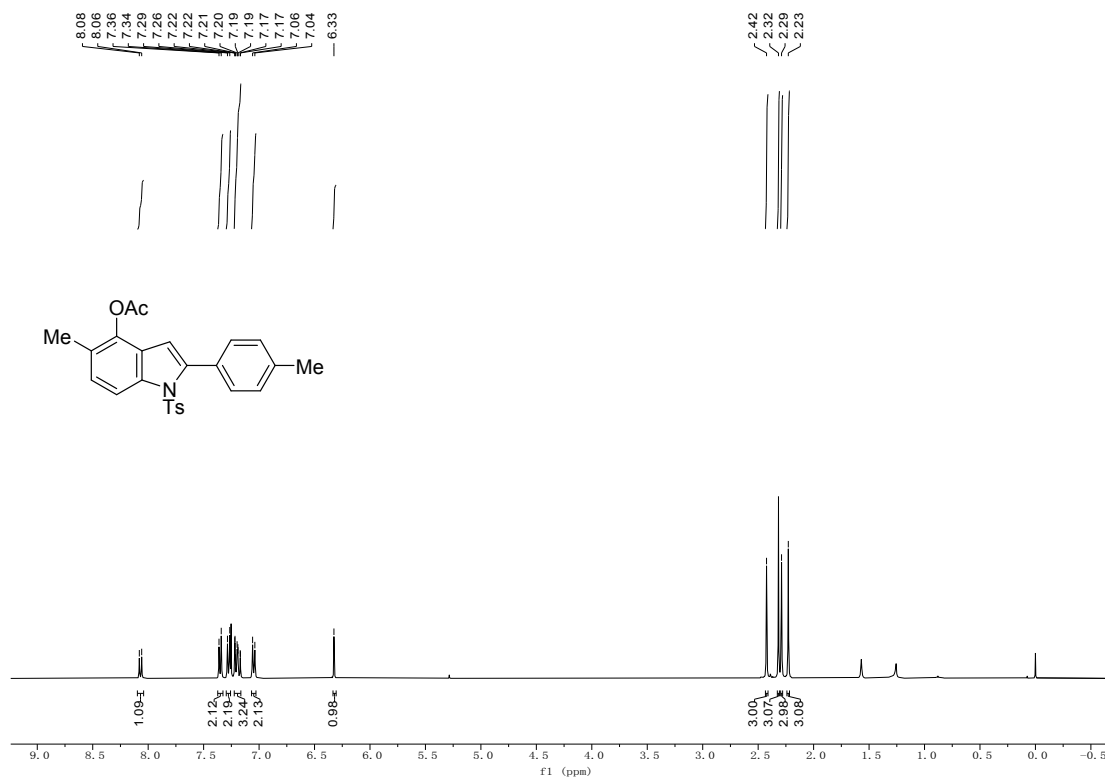


¹³C NMR (101 MHz, CDCl₃)

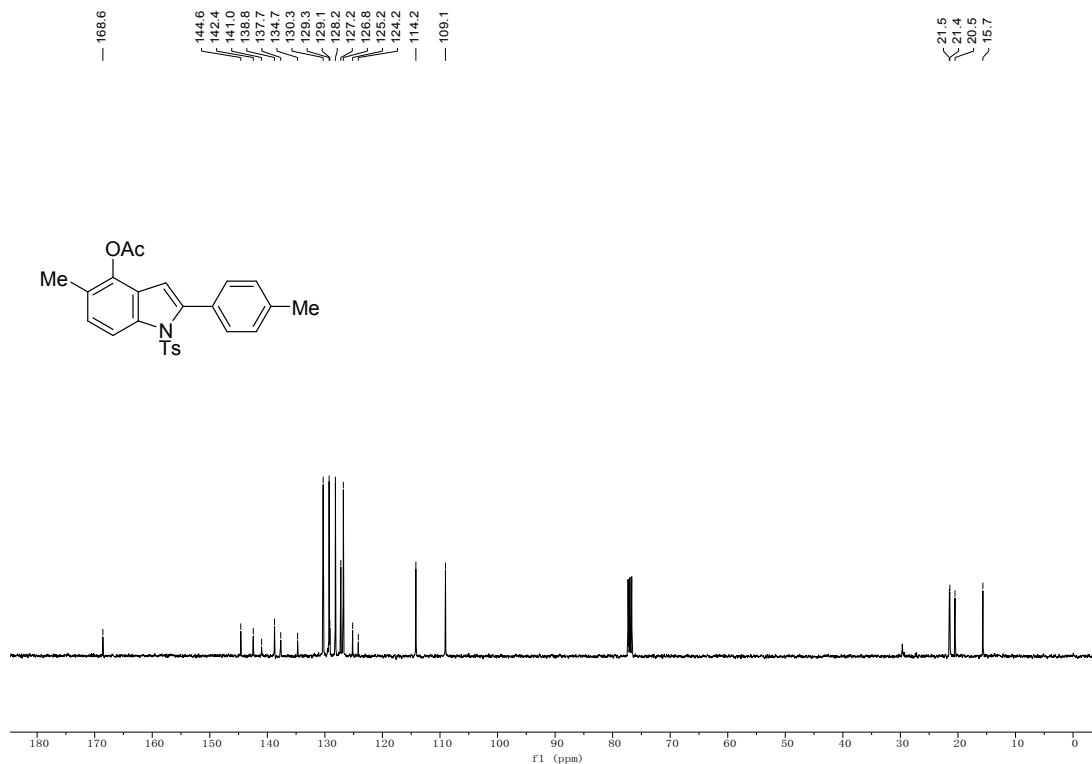


5-methyl-2-(*p*-tolyl)-1-tosyl-1H-indol-4-yl acetate (11)

¹H NMR (400 MHz, CDCl₃)

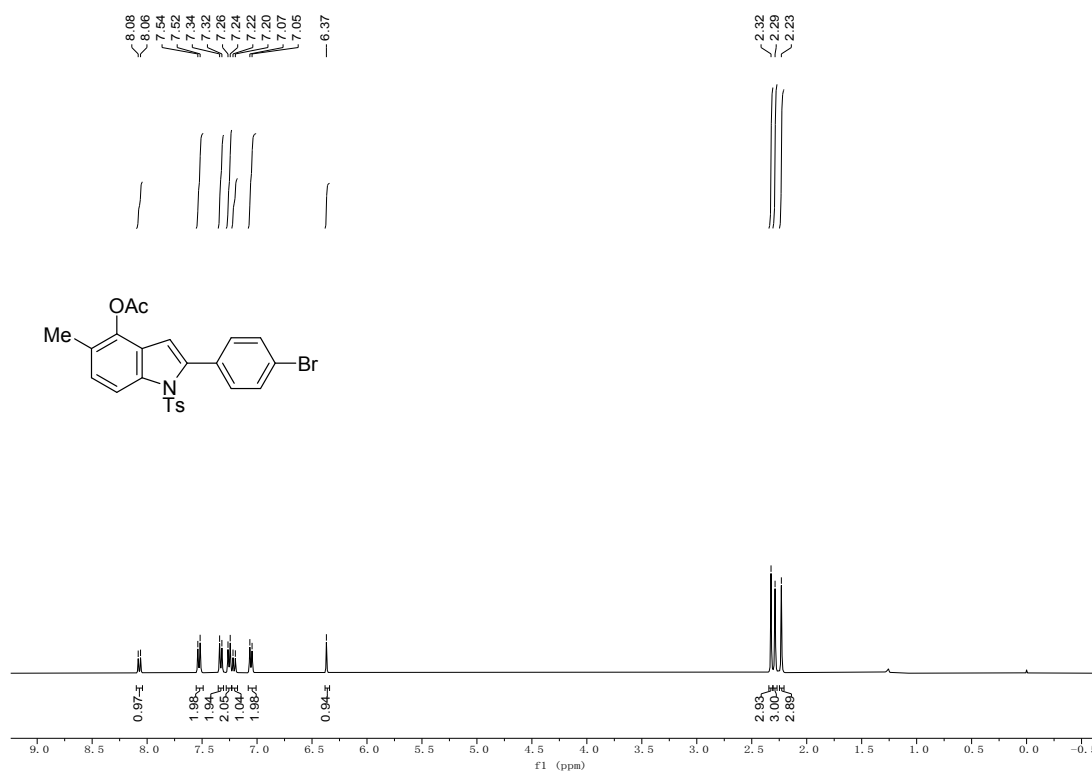


¹³C NMR (101 MHz, CDCl₃)

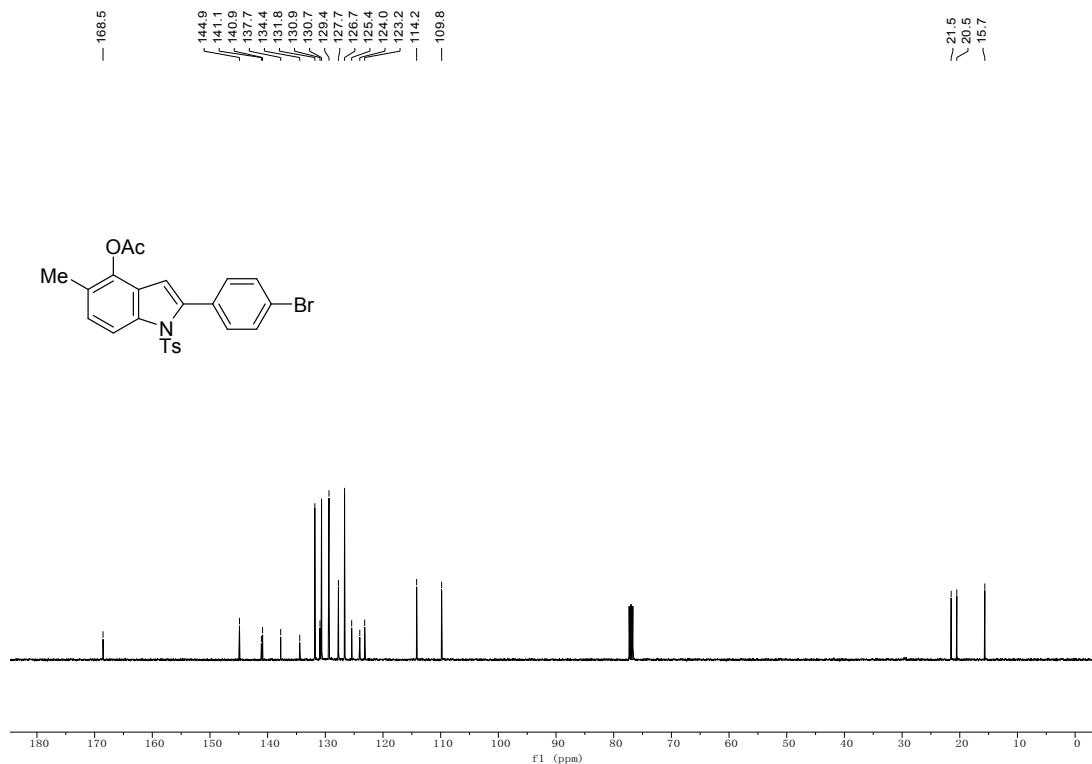


2-(4-bromophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (12)

^1H NMR (400 MHz, CDCl_3)

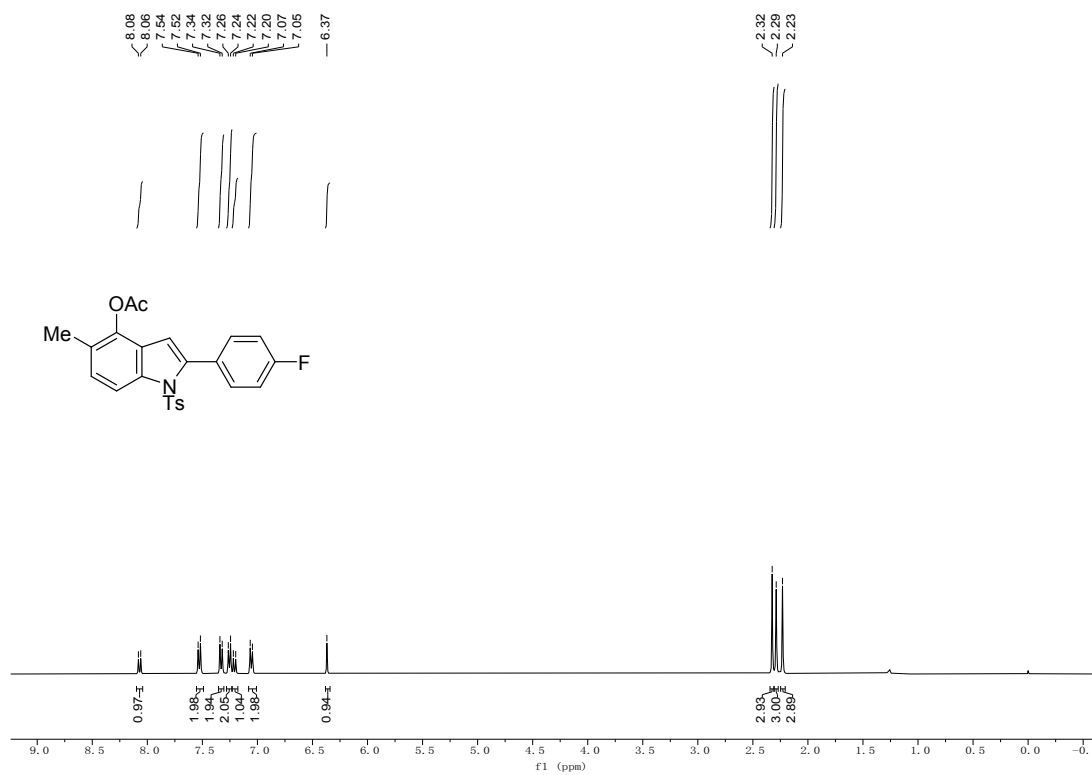


^{13}C NMR (101 MHz, CDCl_3)

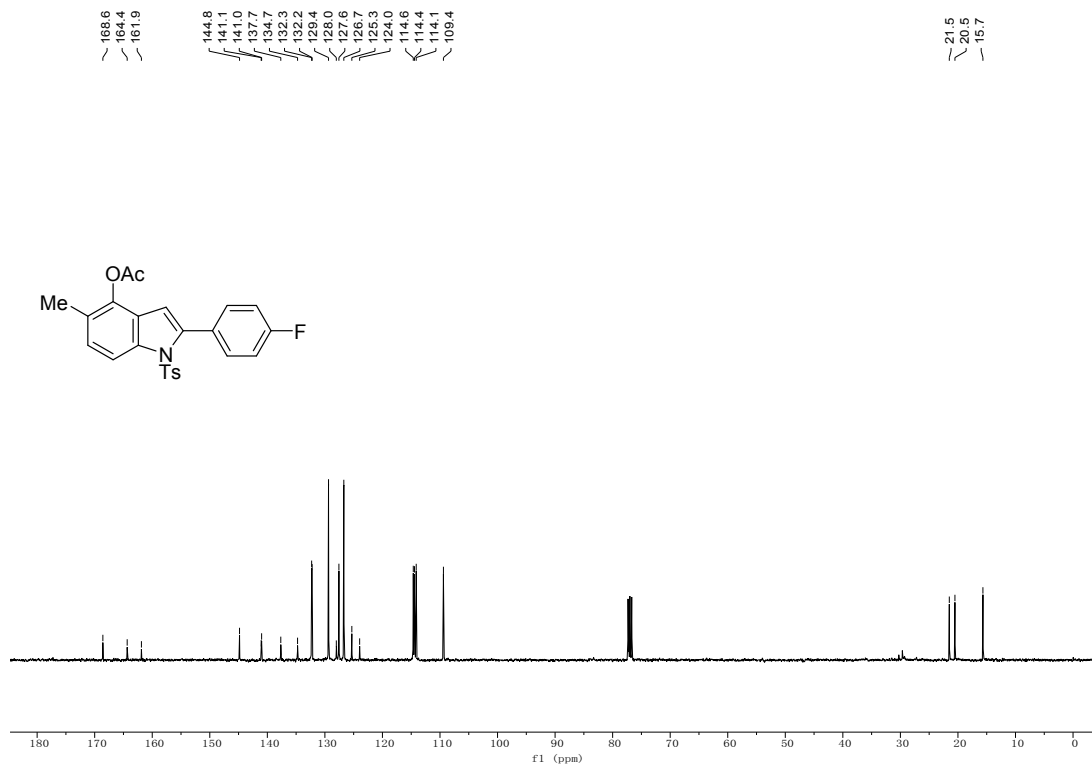


2-(4-fluorophenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (13)

¹H NMR (400 MHz, CDCl₃)

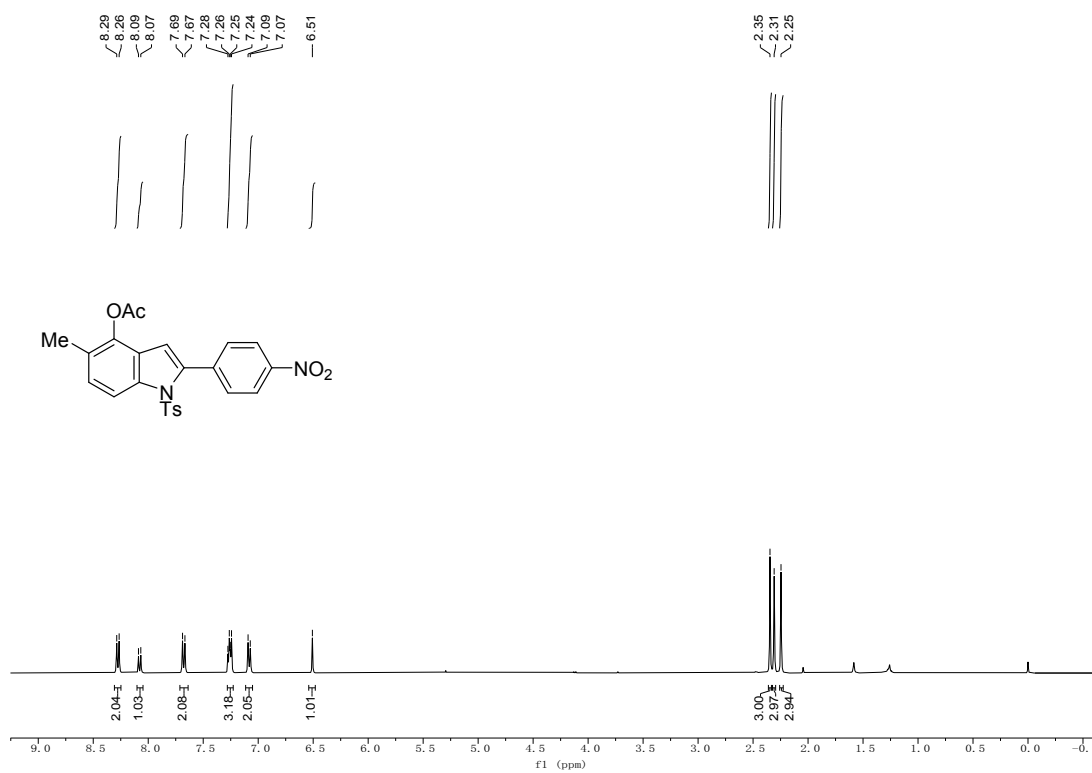


¹³C NMR (101 MHz, CDCl₃)

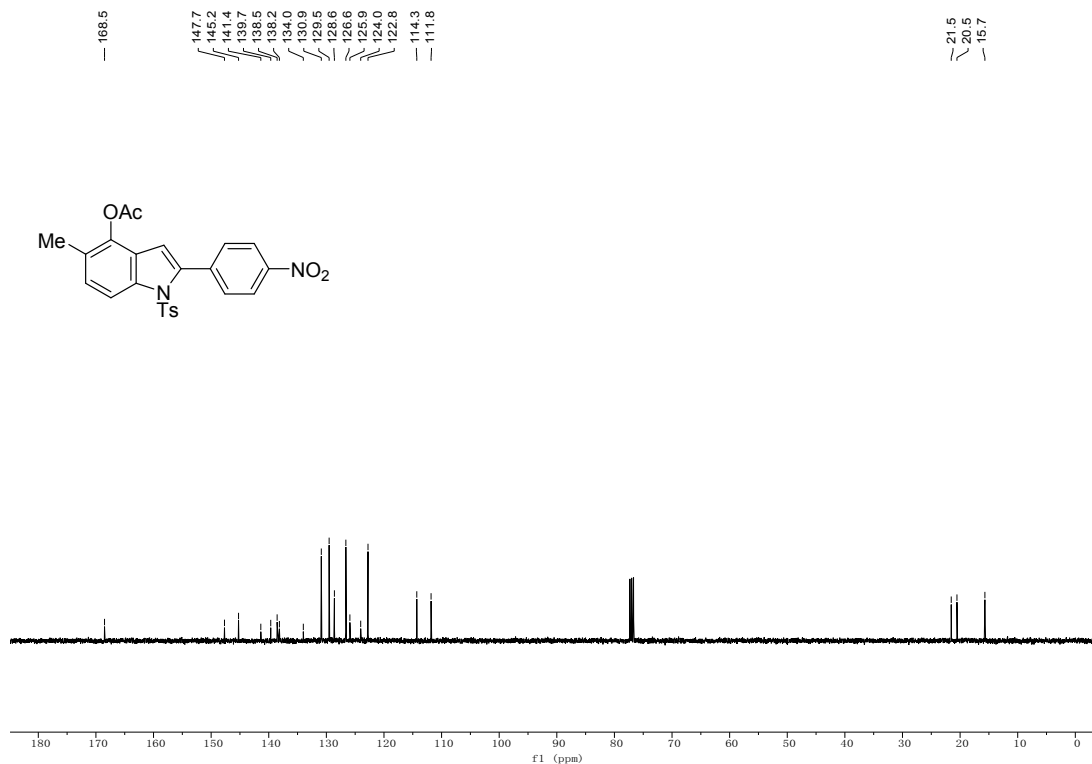


5-methyl-2-(4-nitrophenyl)-1-tosyl-1H-indol-4-yl acetate (14)

¹H NMR (400 MHz, CDCl₃)

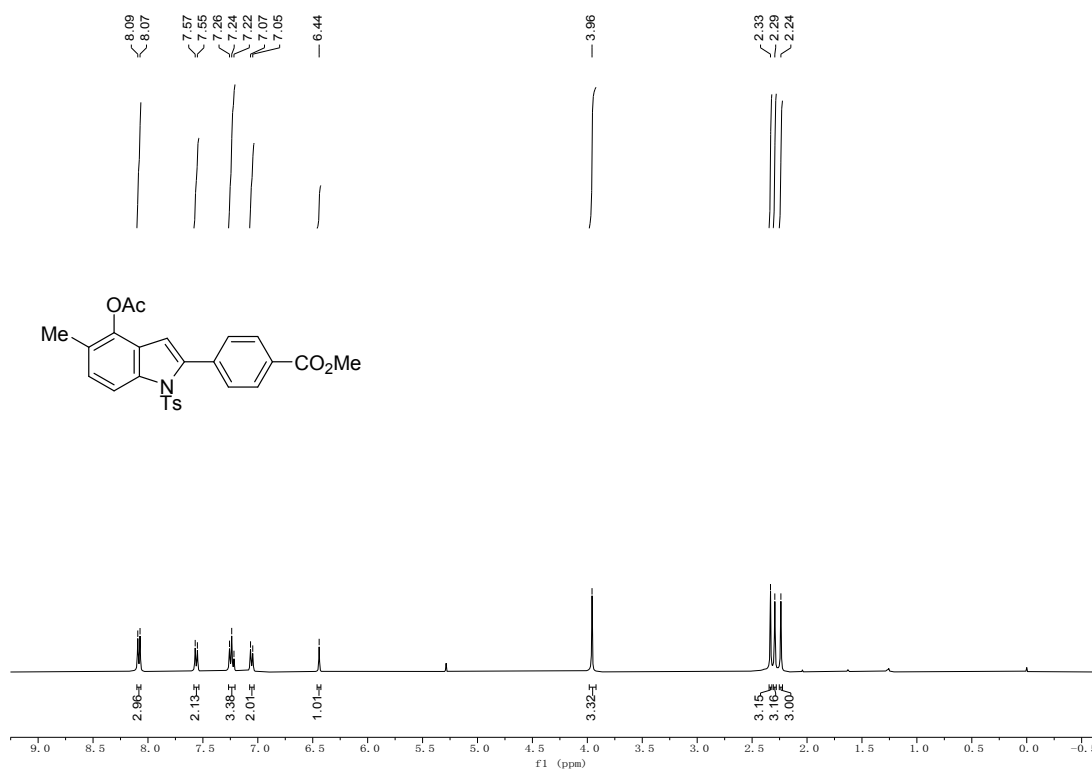


¹³C NMR (101 MHz, CDCl₃)

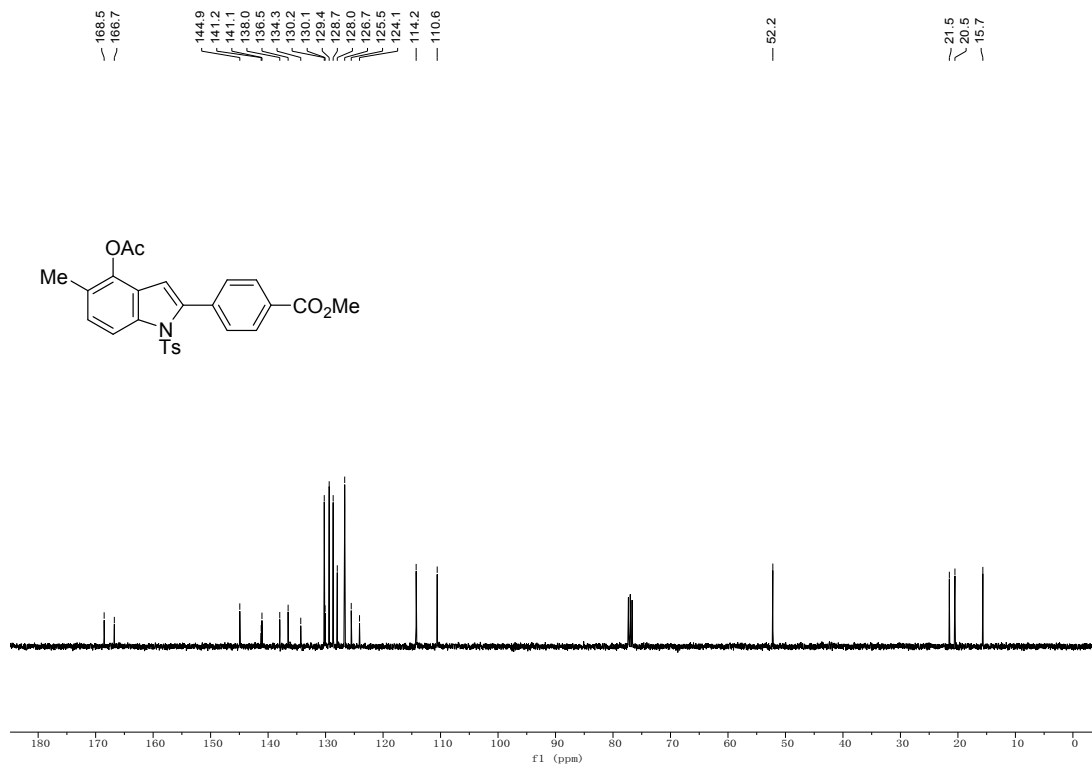


Methyl 4-(4-acetoxy-5-methyl-1-tosyl-1H-indol-2-yl)benzoate (15)

¹H NMR (400 MHz, CDCl₃)

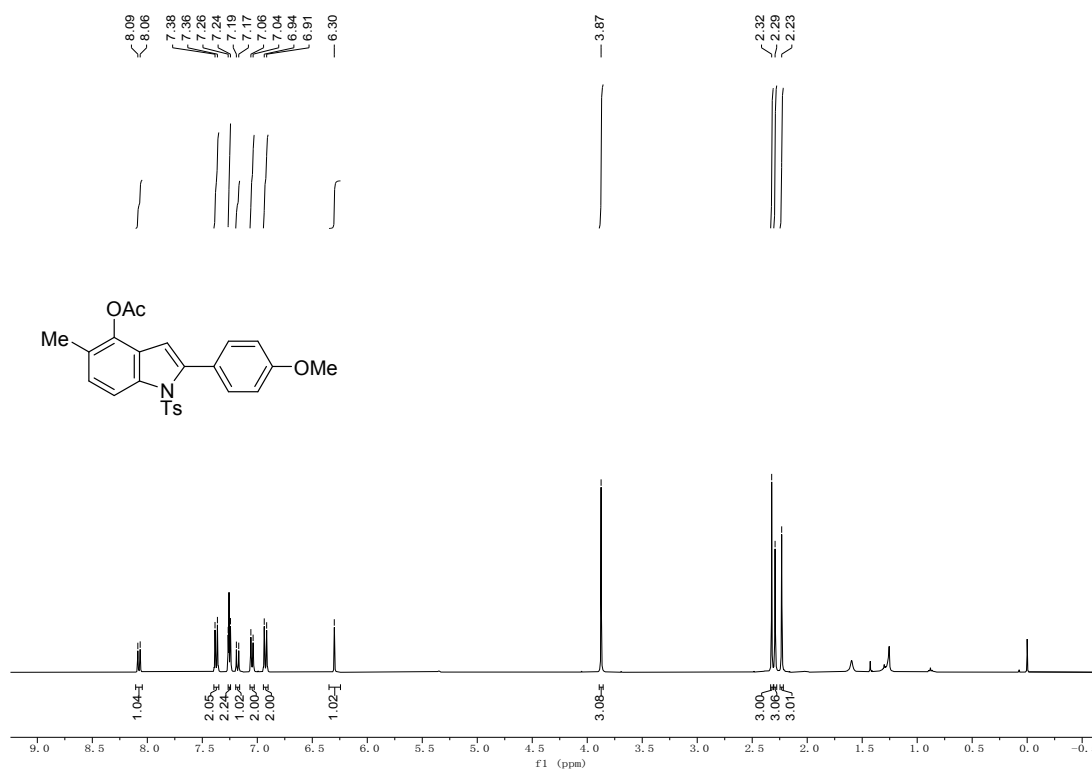


¹³C NMR (101 MHz, CDCl₃)

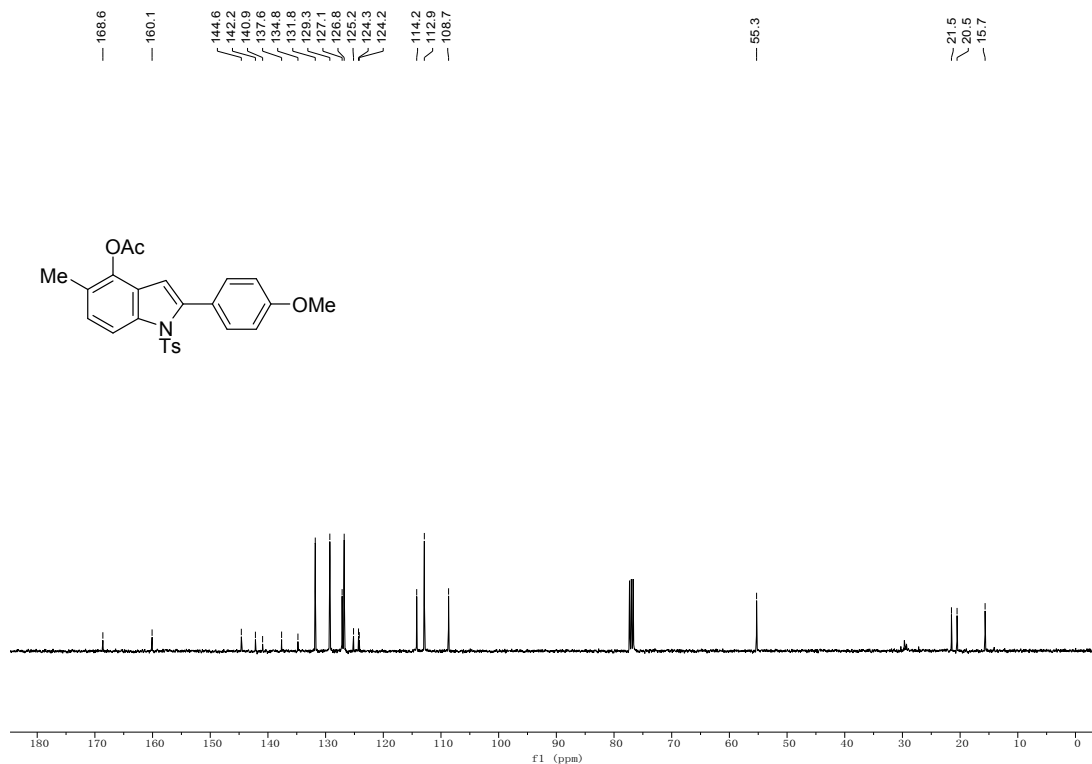


2-(4-methoxyphenyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (16)

¹H NMR (400 MHz, CDCl₃)

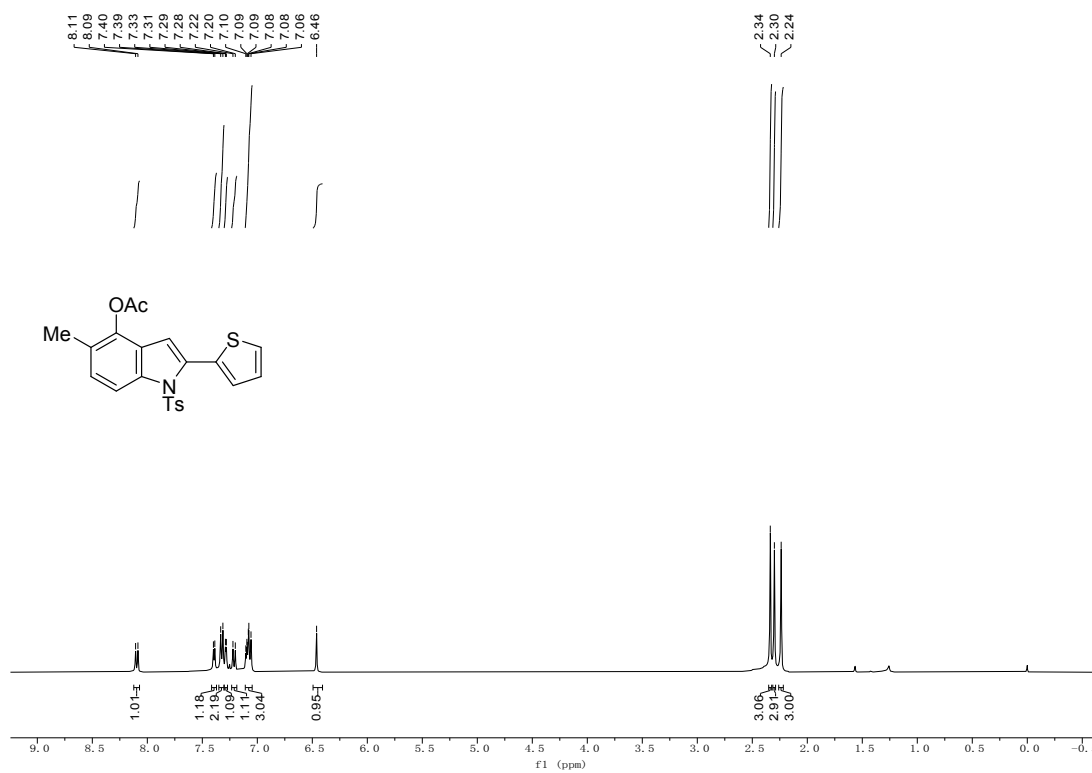


¹³C NMR (101 MHz, CDCl₃)

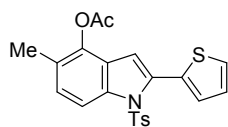
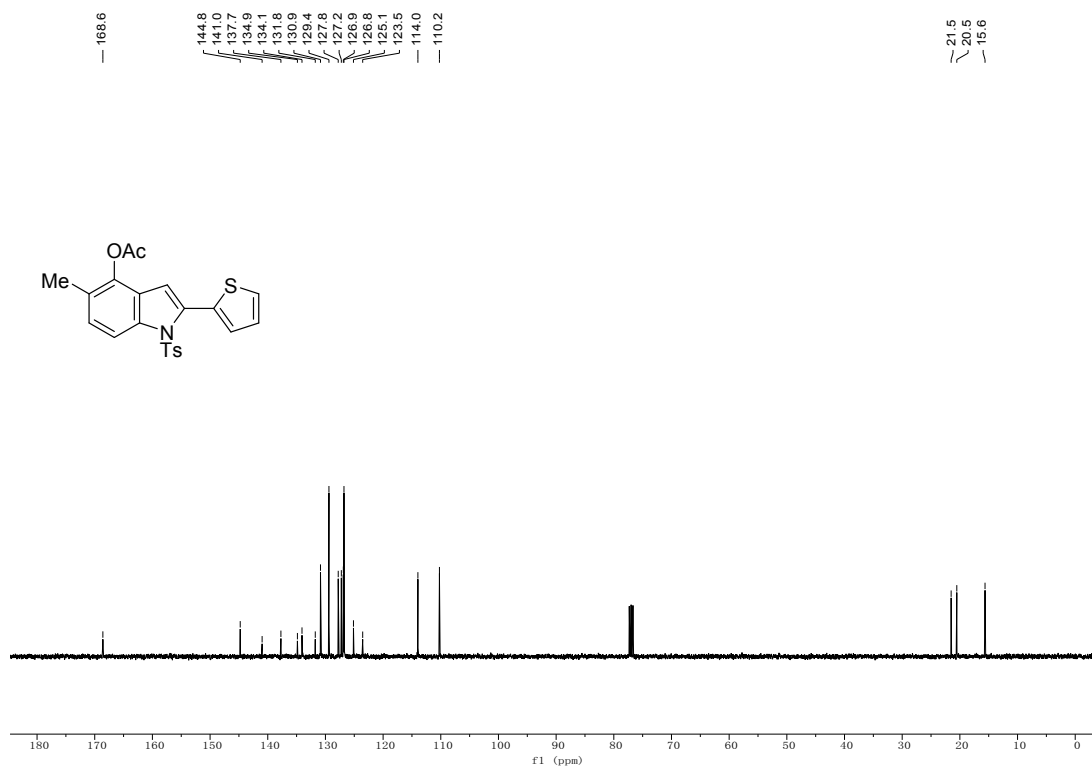


5-methyl-2-(thiophen-2-yl)-1-tosyl-1H-indol-4-yl acetate (17)

¹H NMR (400 MHz, CDCl₃)

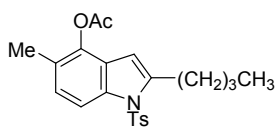
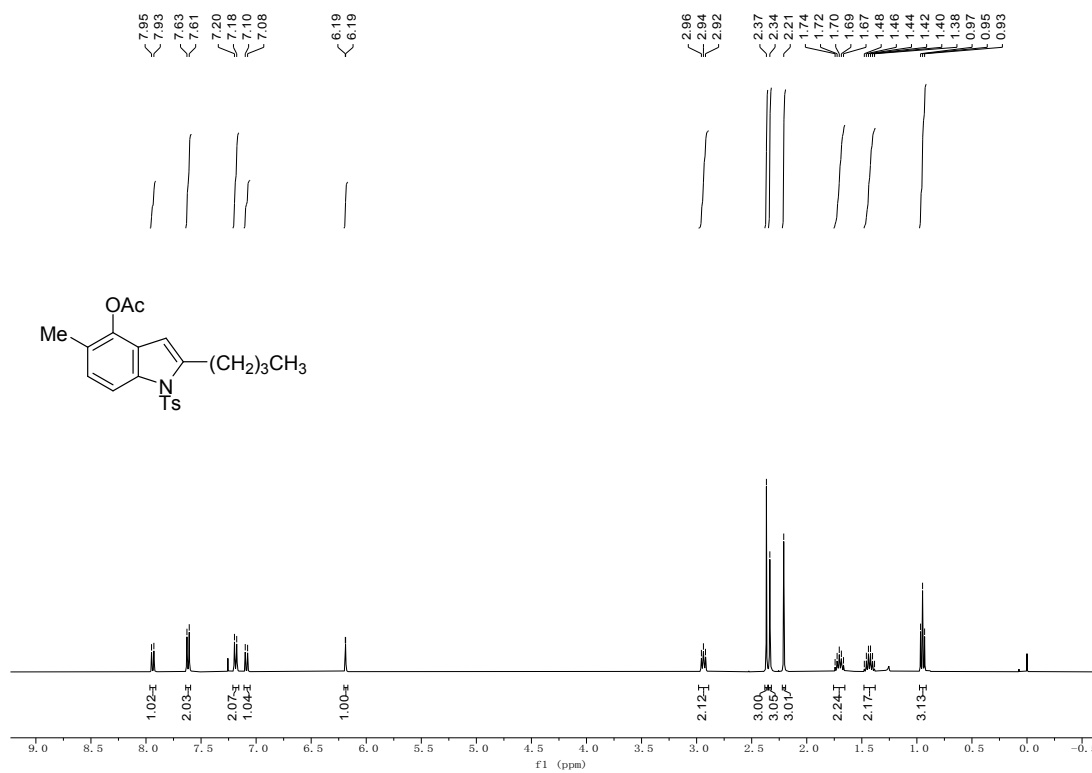


¹³C NMR (101 MHz, CDCl₃)

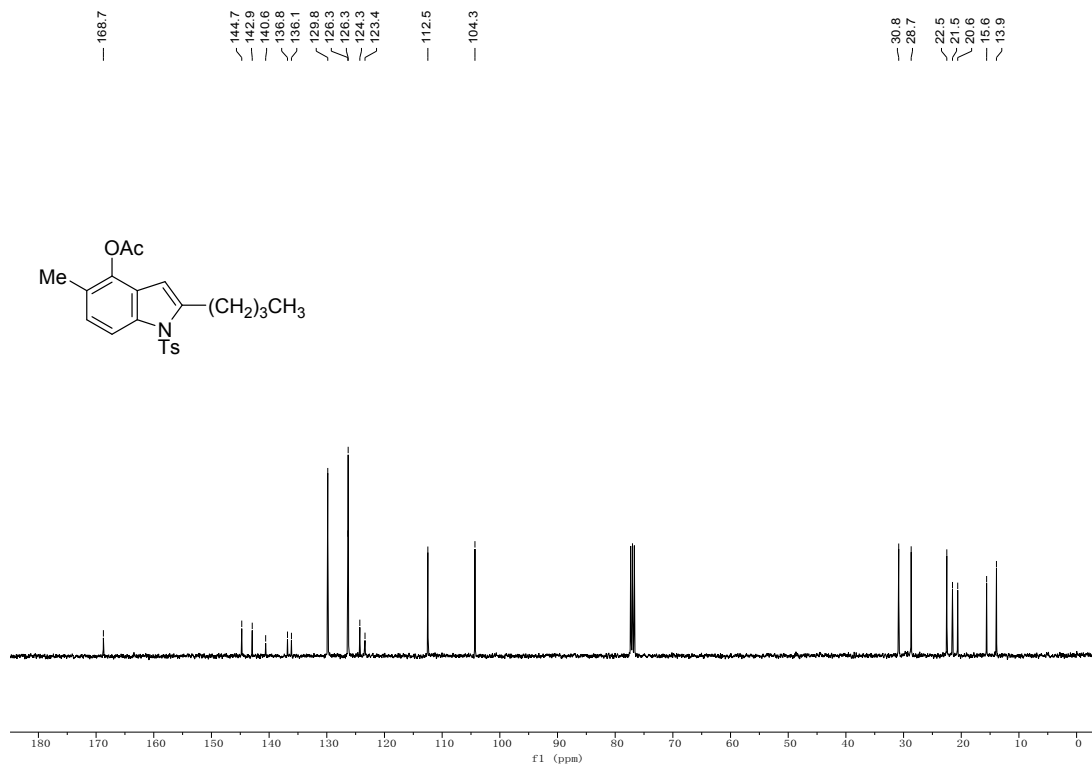


2-butyl-5-methyl-1-tosyl-1H-indol-4-yl acetate (18)

¹H NMR (400 MHz, CDCl₃)

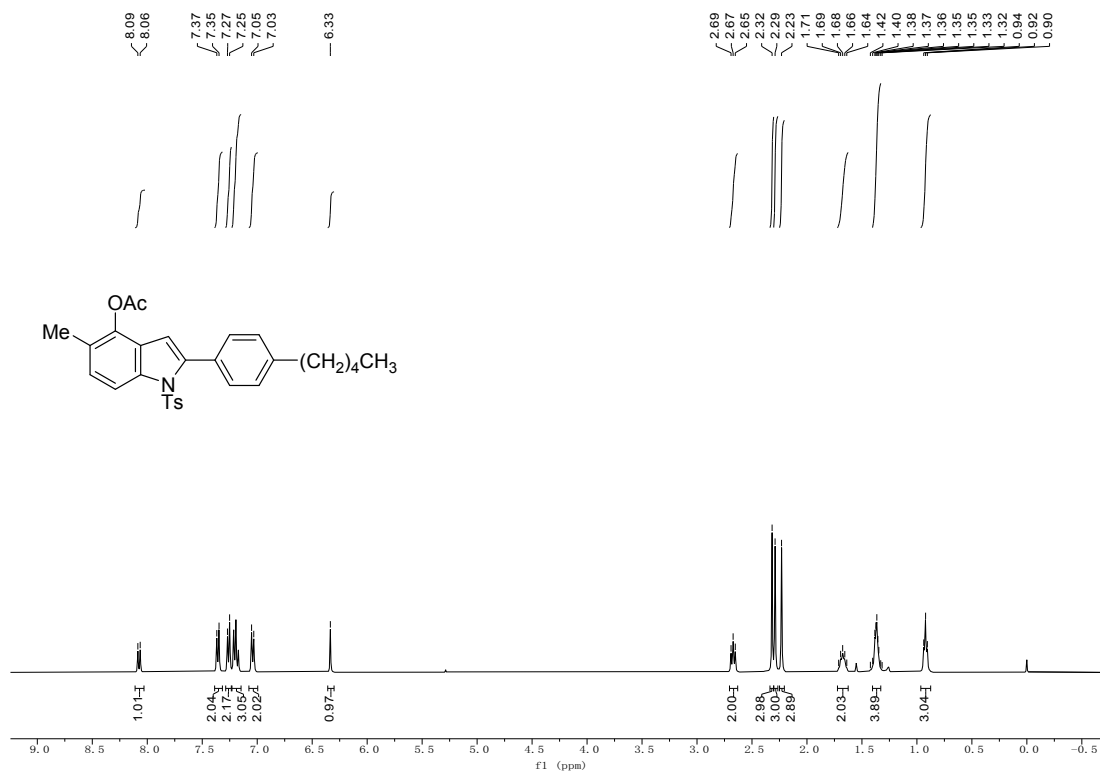


¹³C NMR (101 MHz, CDCl₃)

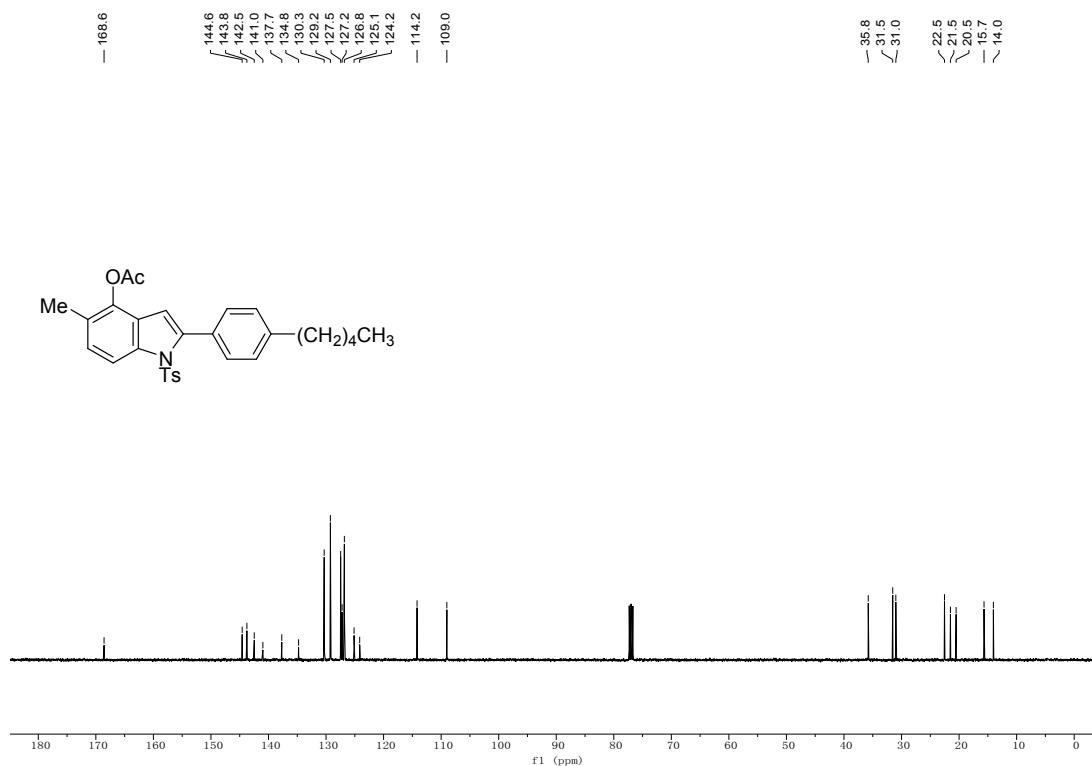


5-methyl-2-(4-pentylphenyl)-1-tosyl-1H-indol-4-yl acetate (19)

¹H NMR (400 MHz, CDCl₃)

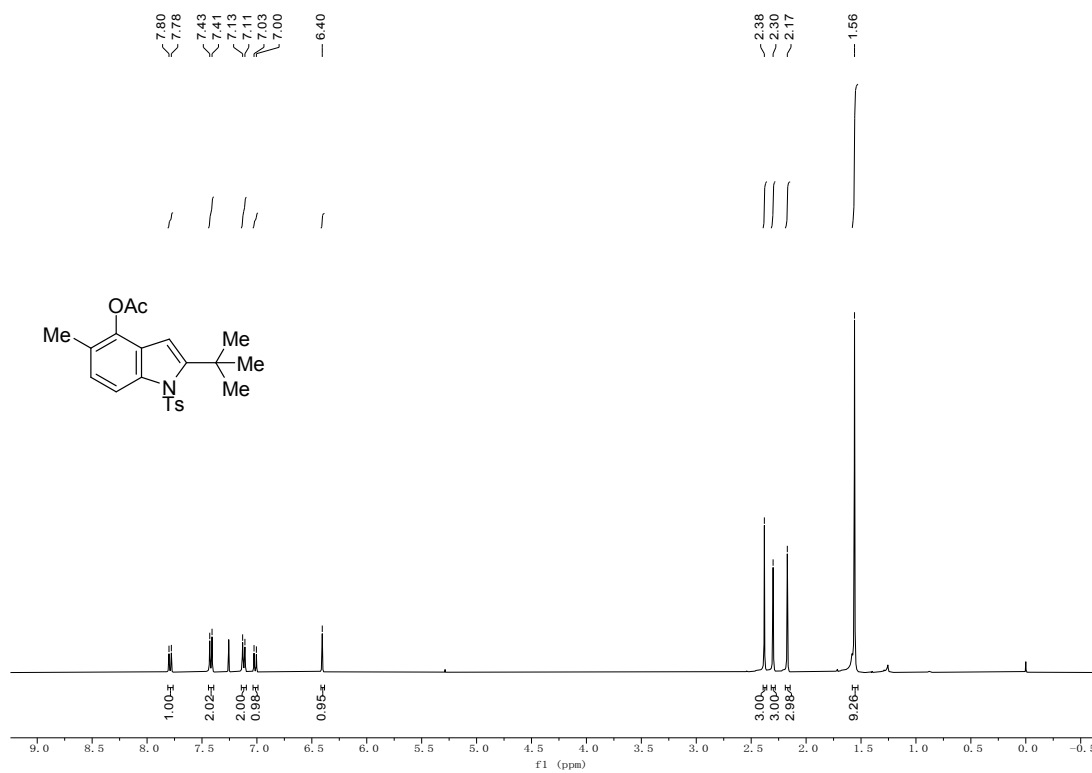


¹³C NMR (101 MHz, CDCl₃)

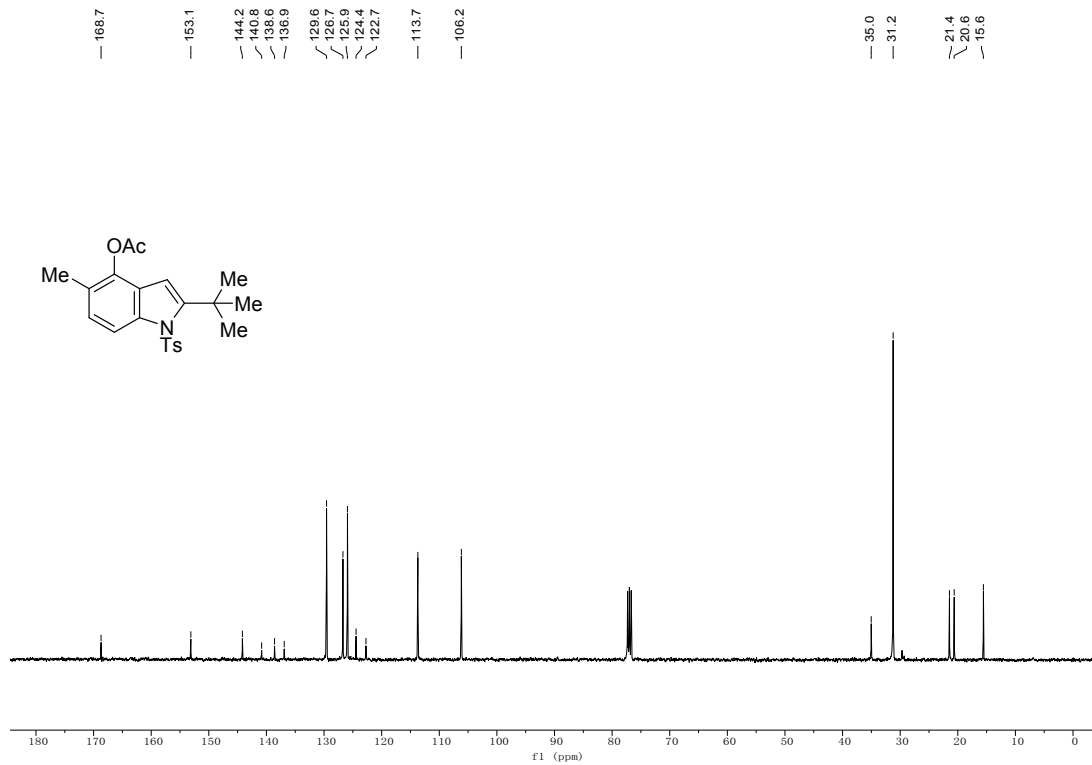


2-(*tert*-butyl)-5-methyl-1-tosyl-1H-indol-4-yl acetate (20)

¹H NMR (400 MHz, CDCl₃)

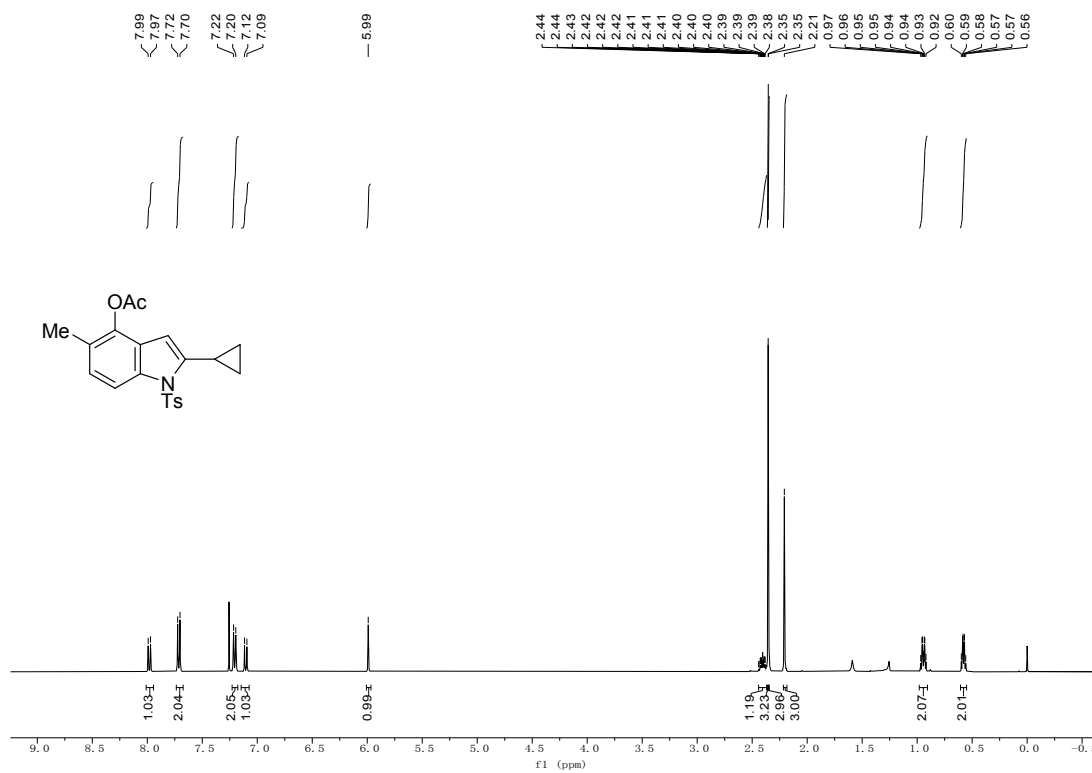


¹³C NMR (101 MHz, CDCl₃)

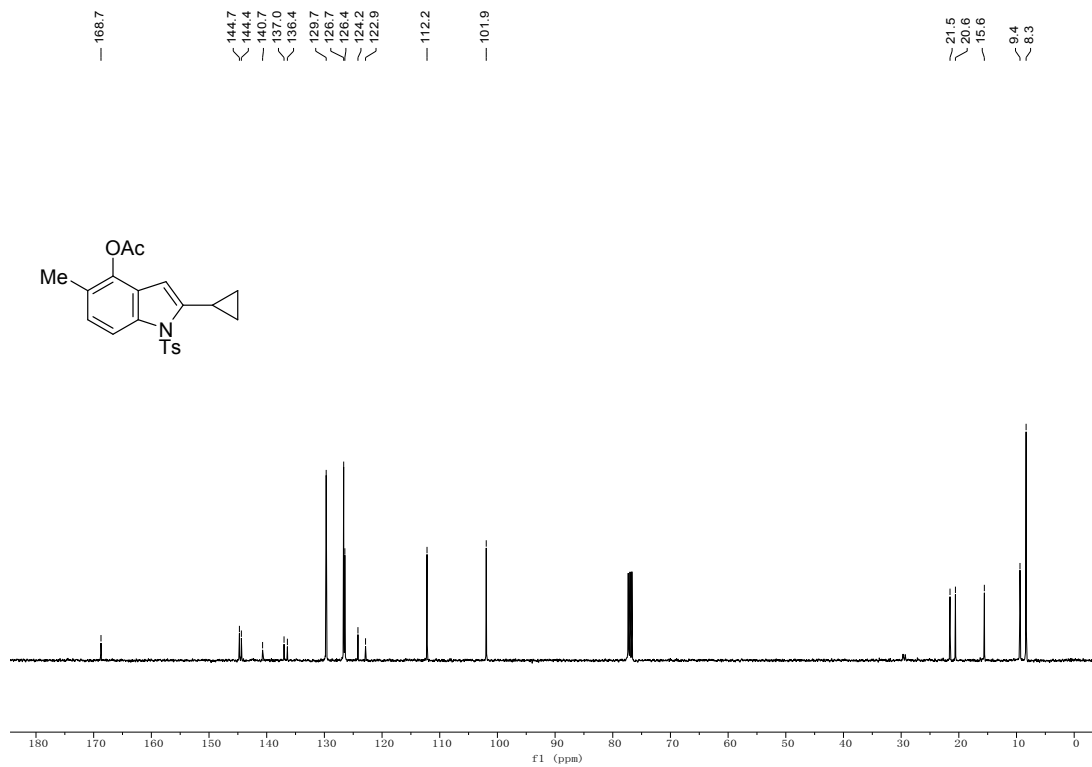


2-cyclopropyl-5-methyl-1-tosyl-1H-indol-4-yl acetate (21)

¹H NMR (400 MHz, CDCl₃)

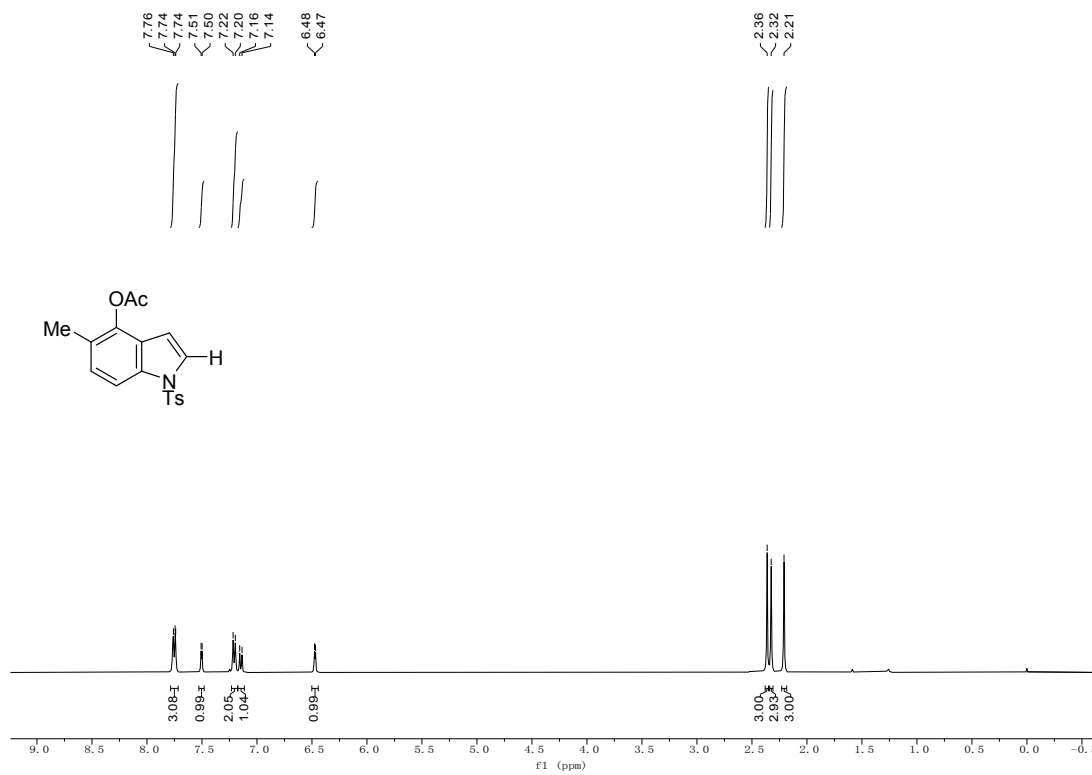


¹³C NMR (101 MHz, CDCl₃)

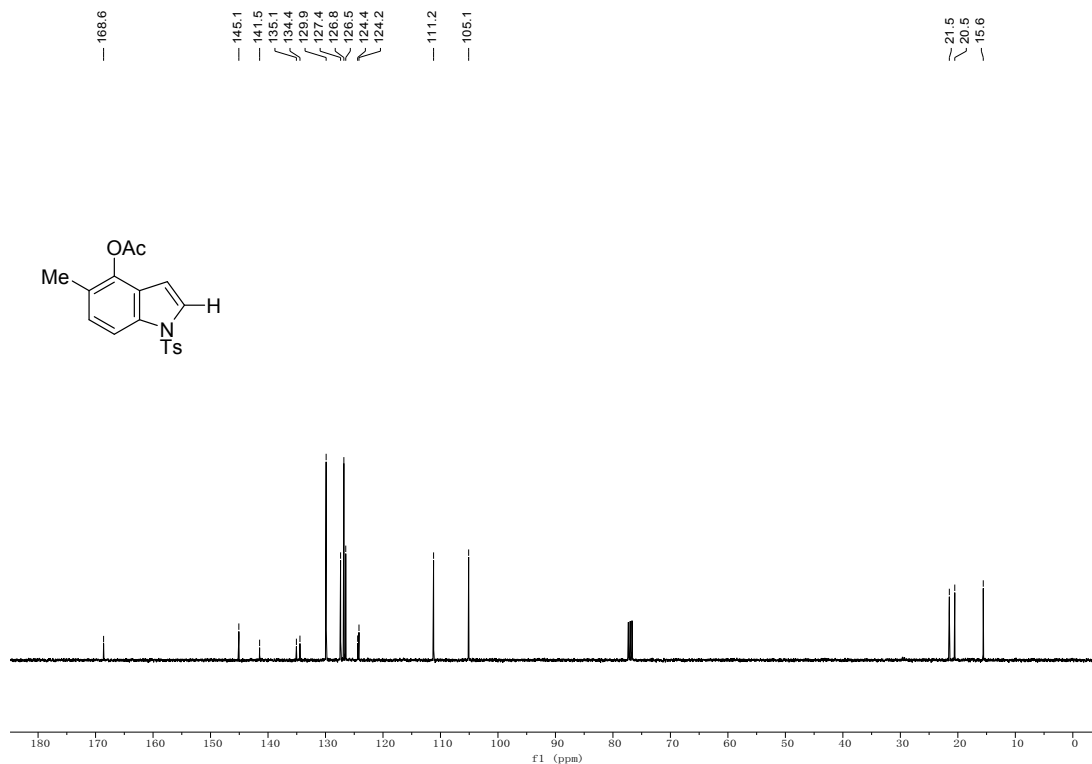


5-methyl-1-tosyl-1H-indol-4-yl acetate (22)

¹H NMR (400 MHz, CDCl₃)

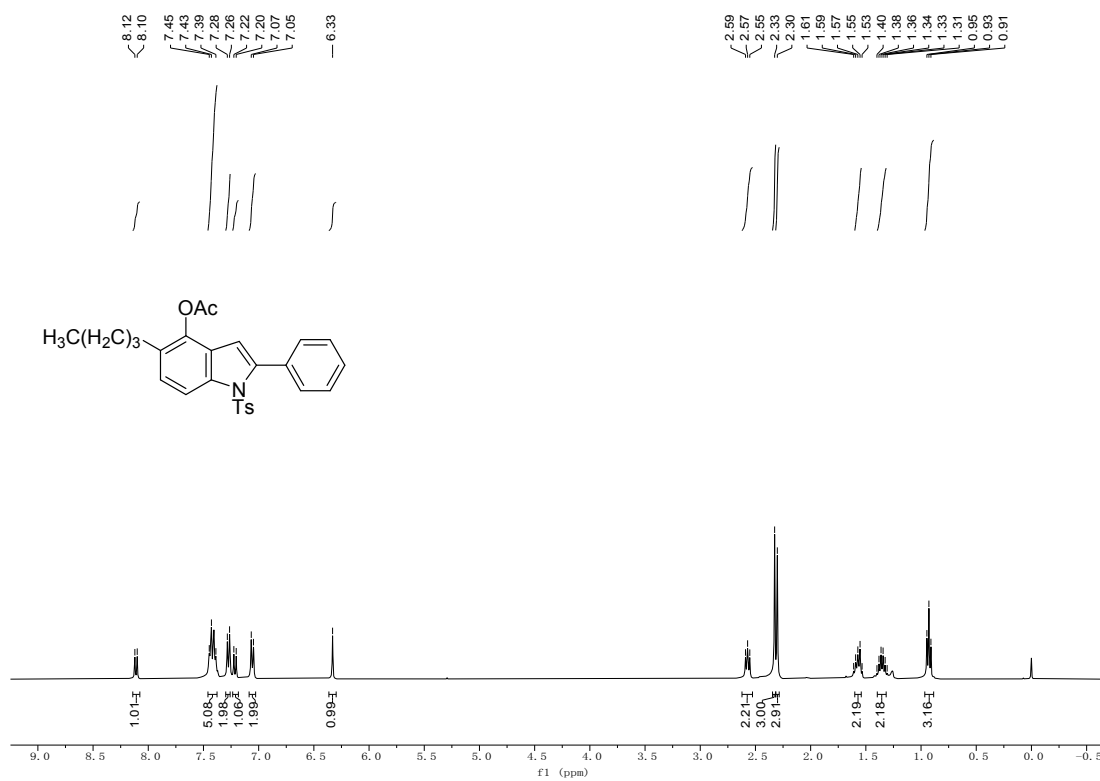


¹³C NMR (101 MHz, CDCl₃)

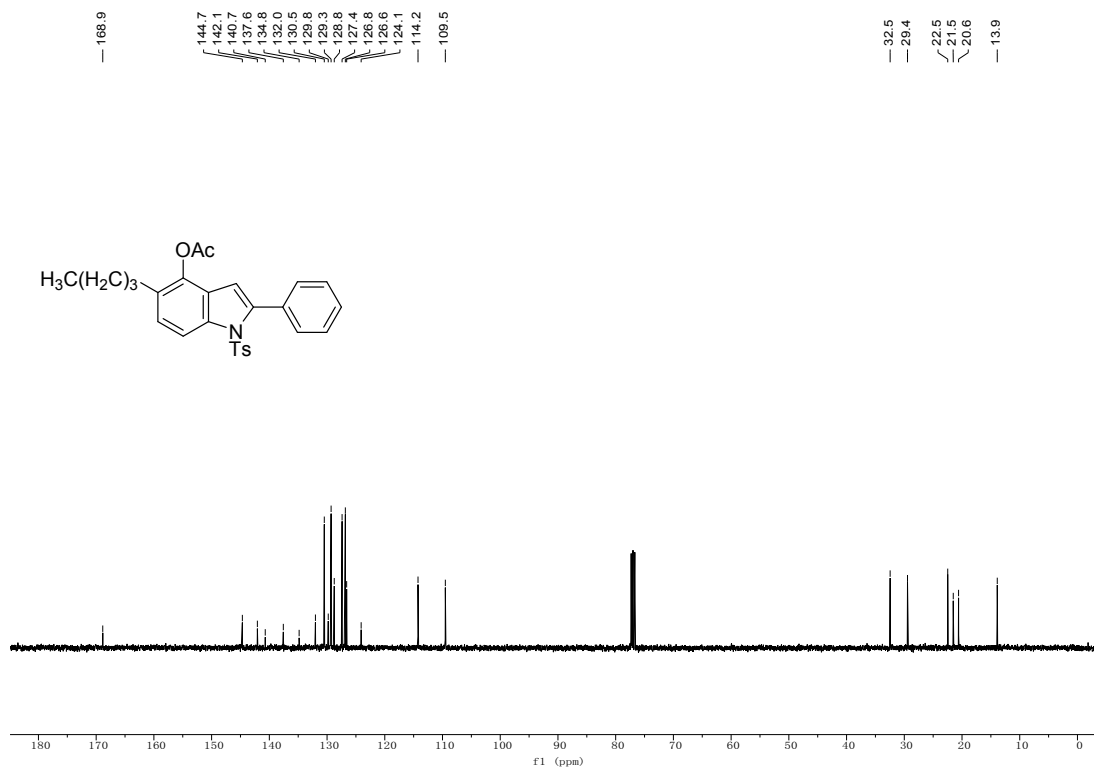


5-butyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (23)

¹H NMR (400 MHz, CDCl₃)

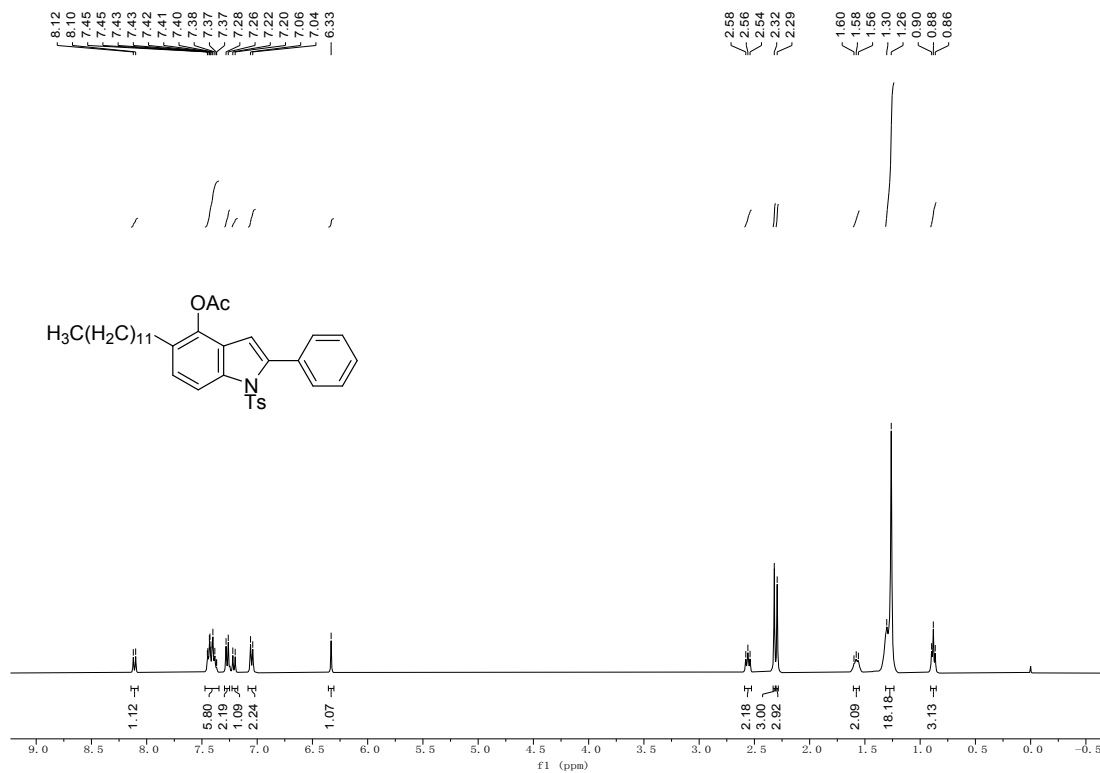


¹³C NMR (101 MHz, CDCl₃)

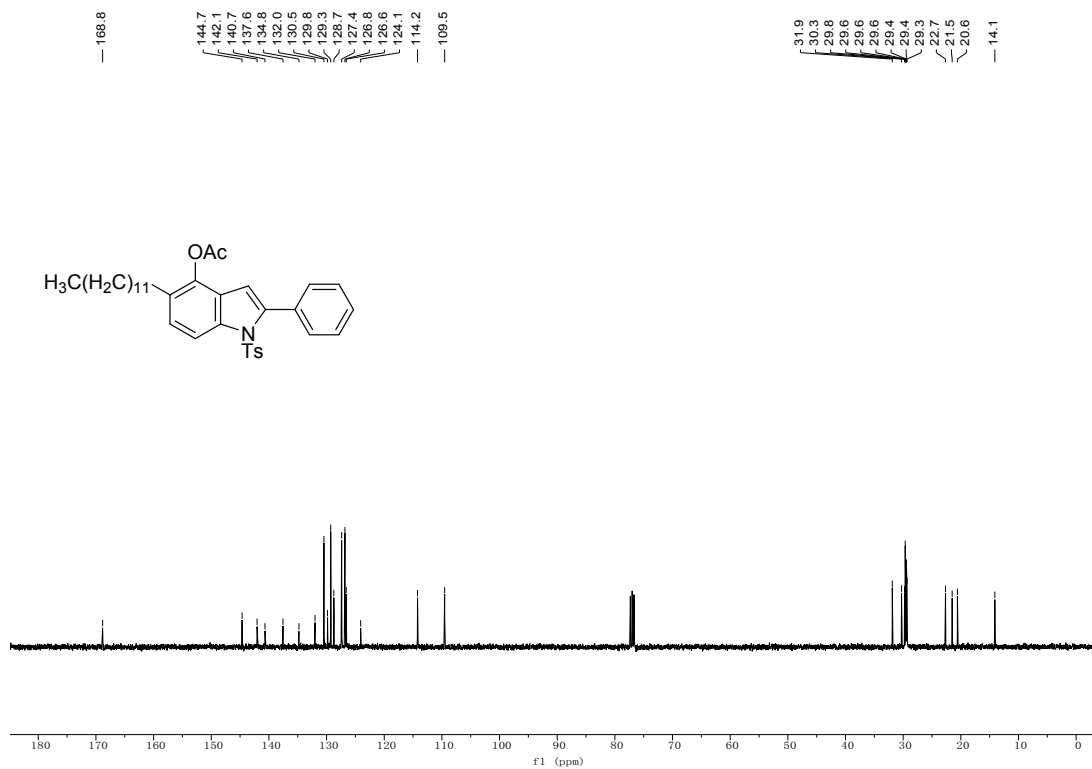


5-dodecyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (24)

¹H NMR (400 MHz, CDCl₃)

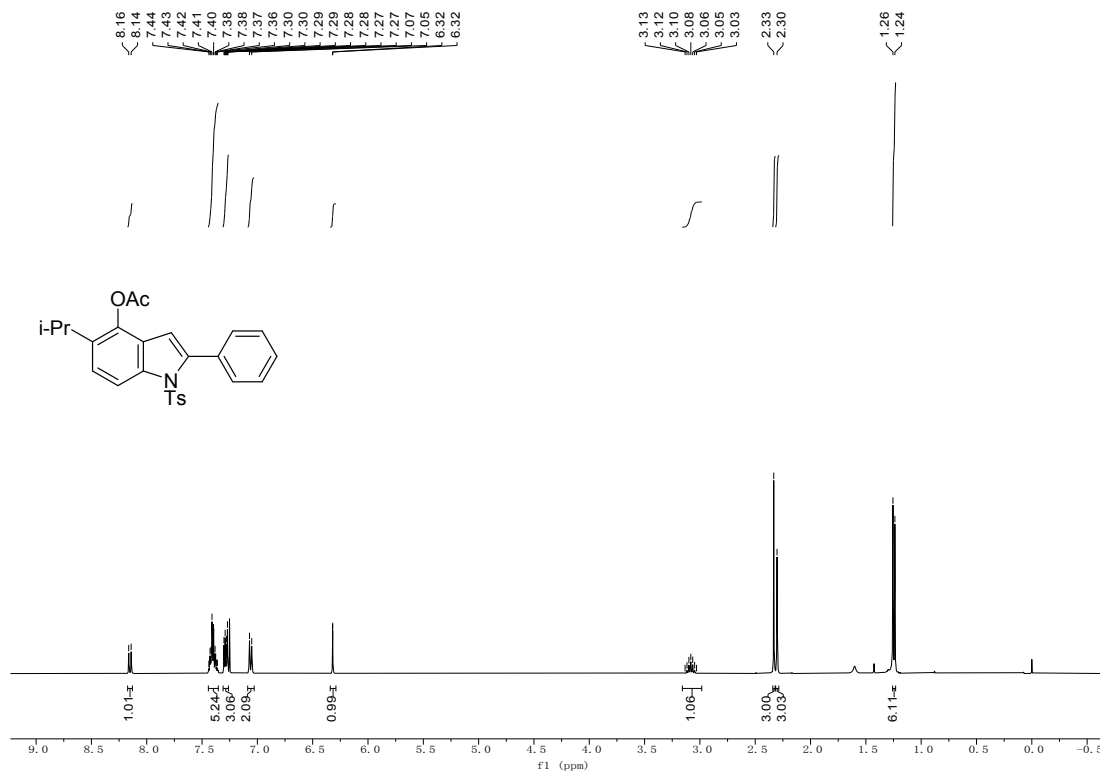


¹³C NMR (101 MHz, CDCl₃)

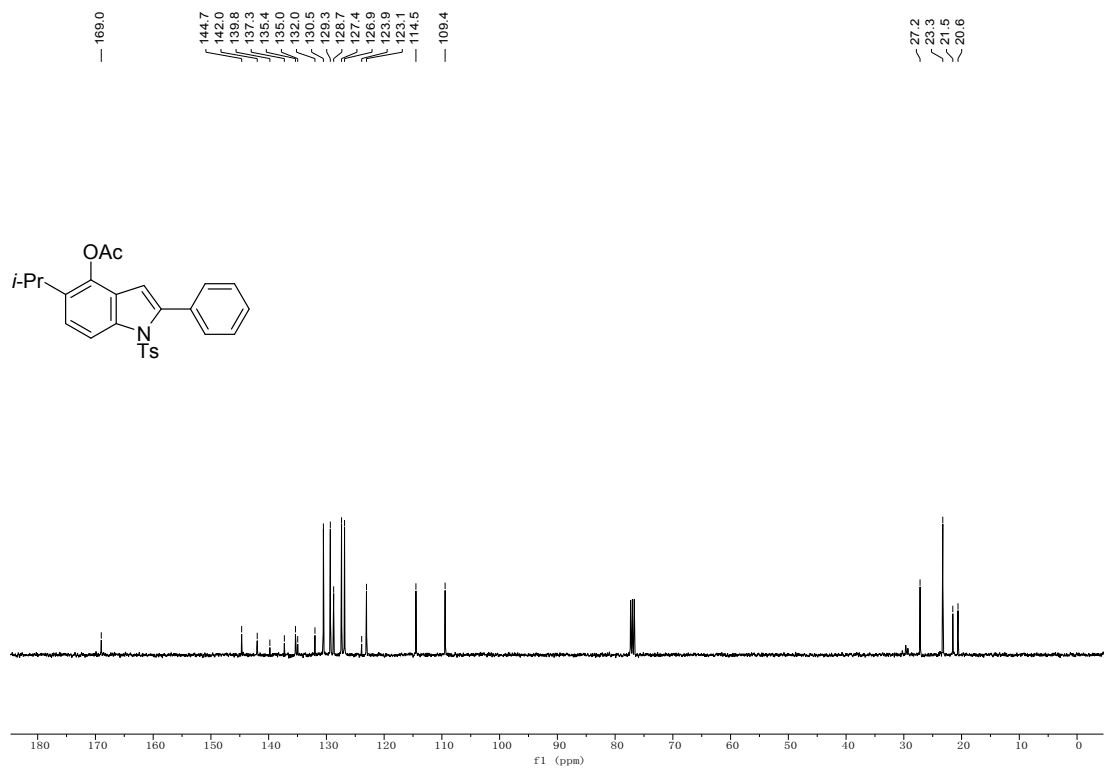


5-isopropyl-2-phenyl-1H-indol-4-yl acetate (25)

¹H NMR (400 MHz, CDCl₃)

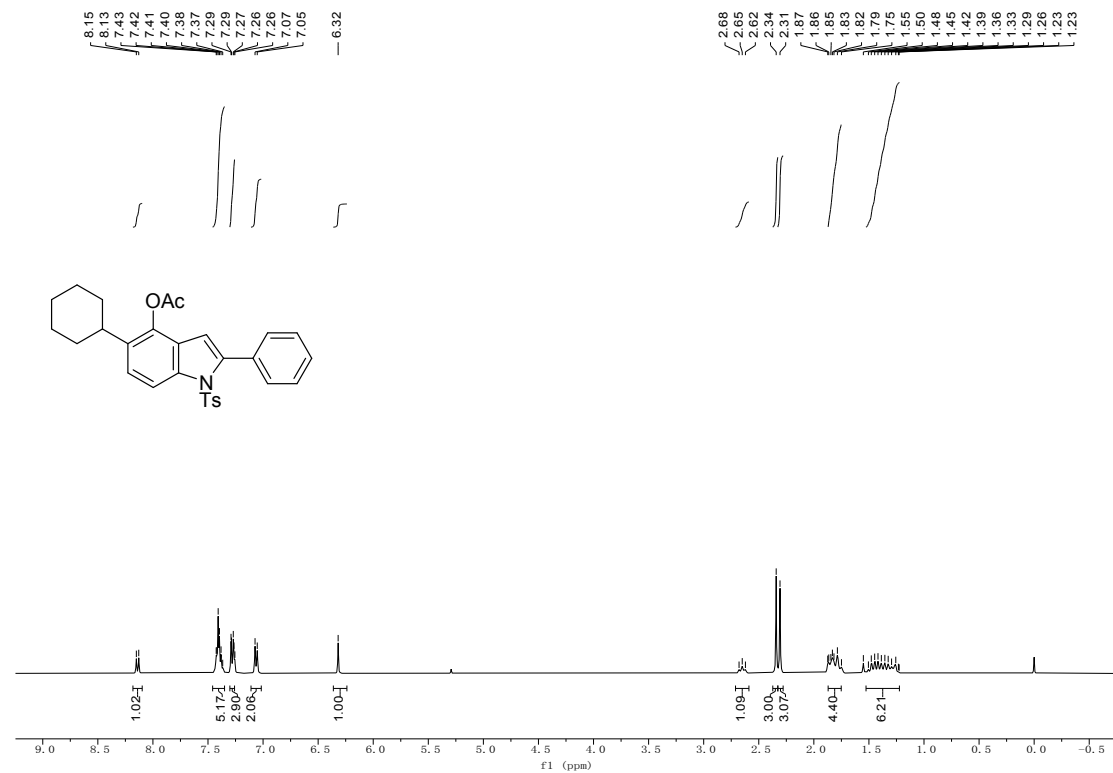


¹³C NMR (101 MHz, CDCl₃)

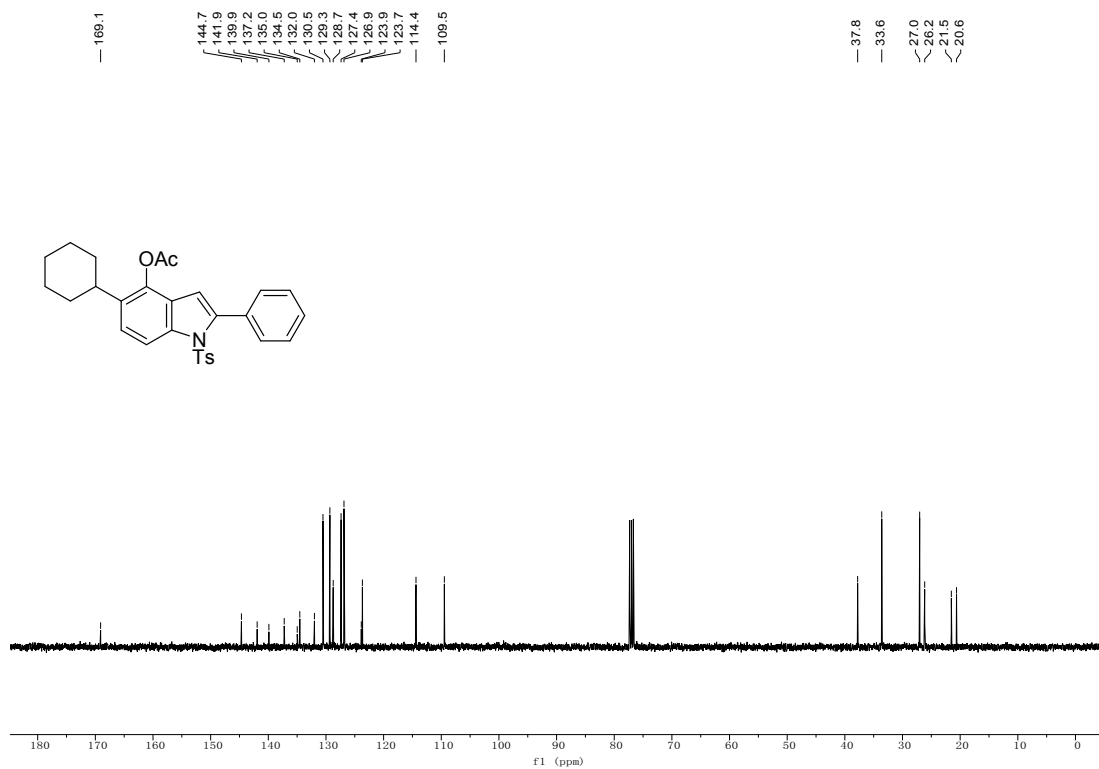


5-cyclohexyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (26)

¹H NMR (400 MHz, CDCl₃)

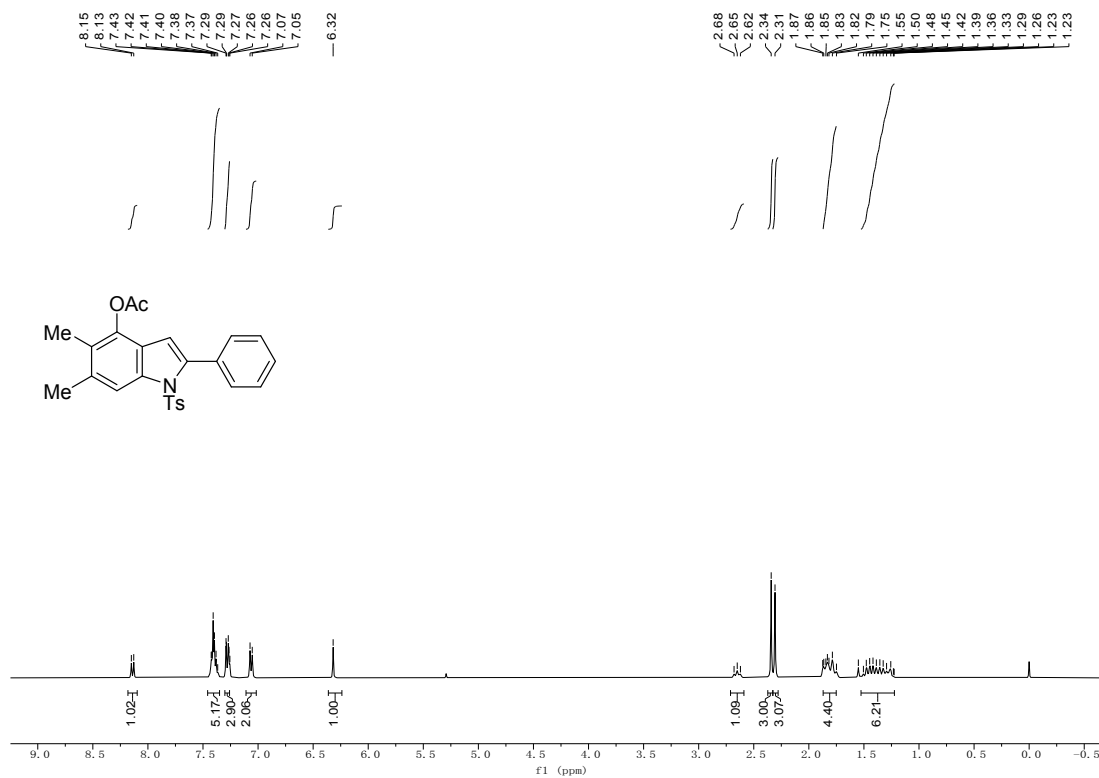


¹³C NMR (101 MHz, CDCl₃)

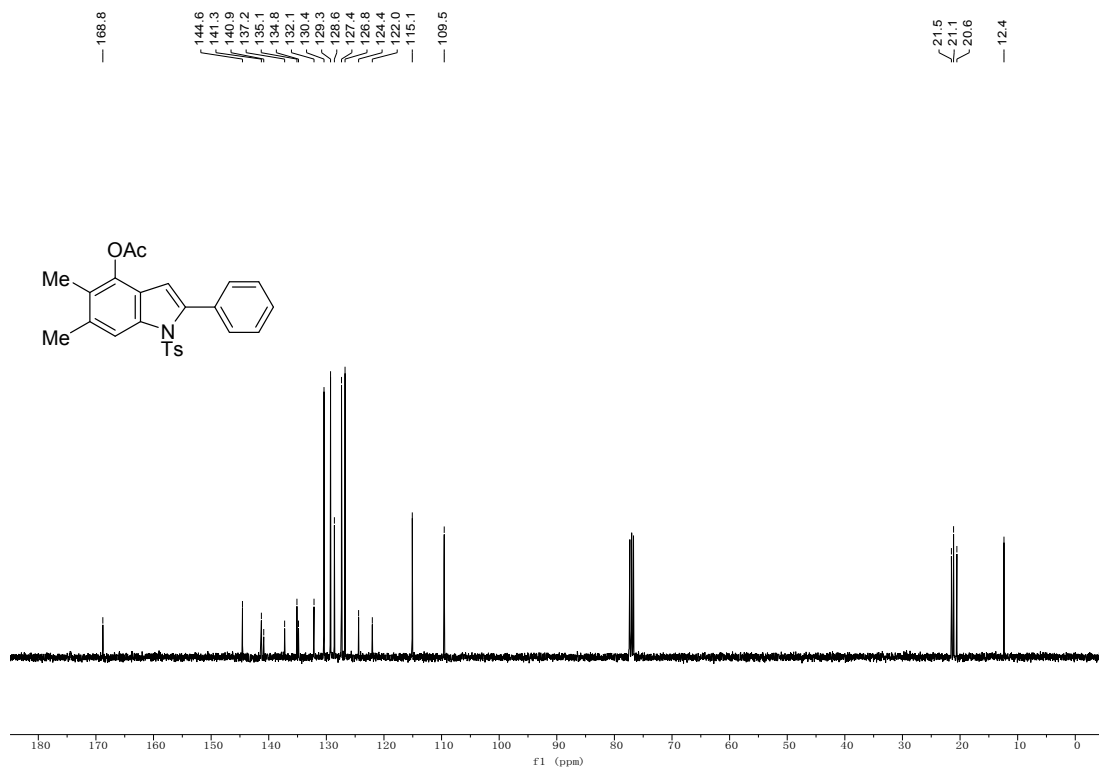


5,6-dimethyl-2-phenyl-1-tosyl-1H-indol-4-yl acetate (27)

¹H NMR (400 MHz, CDCl₃)

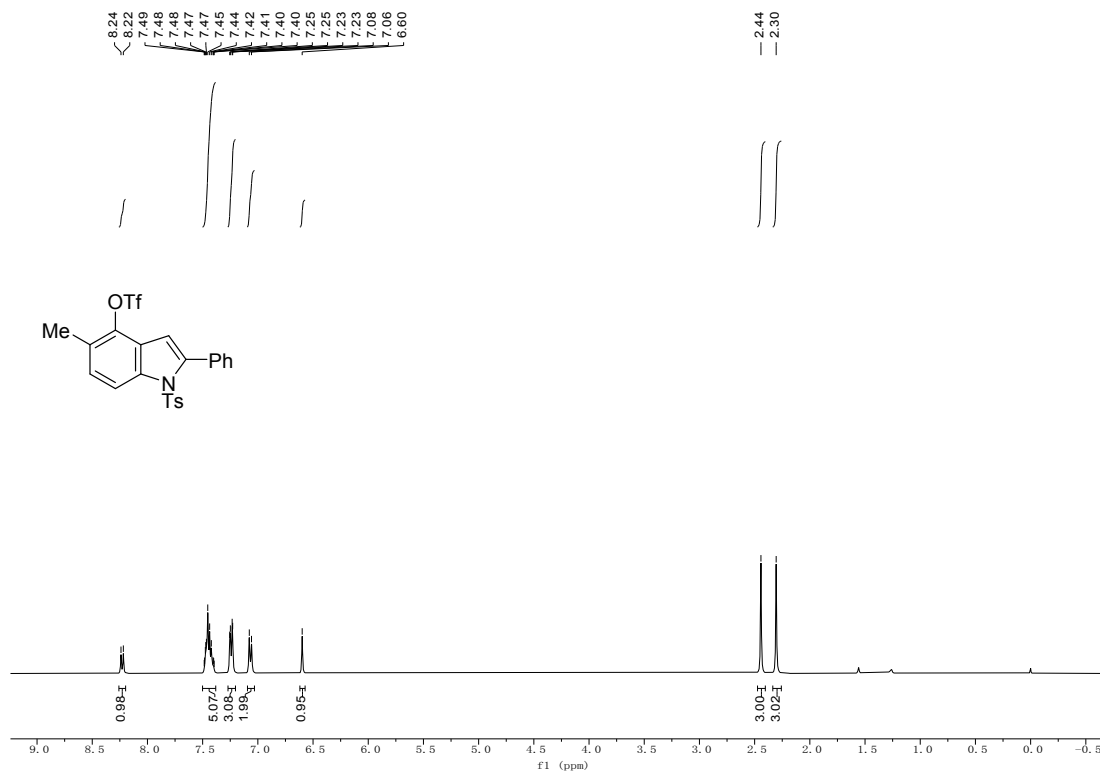


¹³C NMR (101 MHz, CDCl₃)

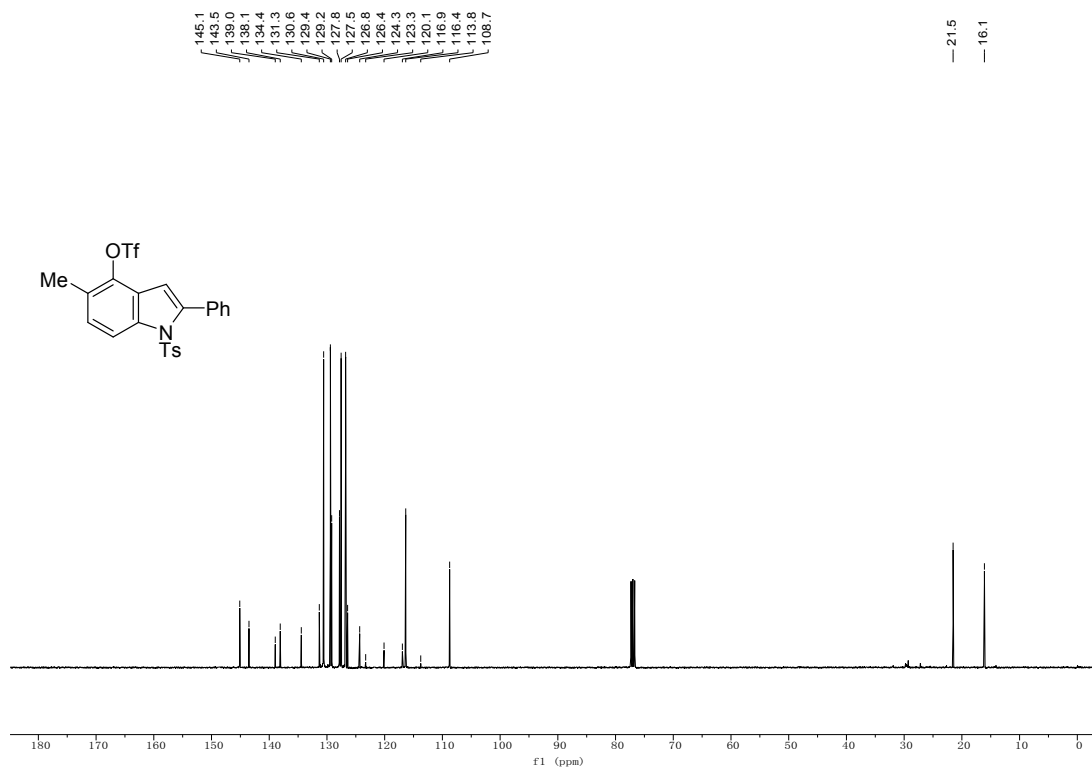


5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl trifluoromethanesulfonate (28)

¹H NMR (400 MHz, CDCl₃)

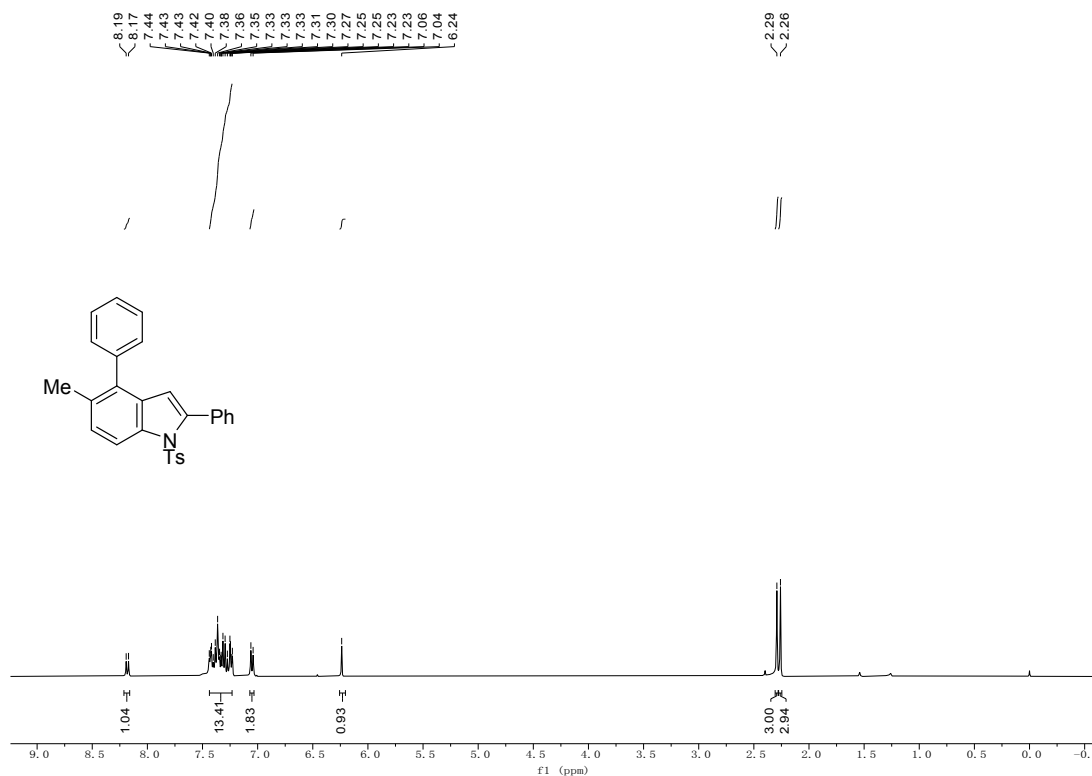


¹³C NMR (101 MHz, CDCl₃)

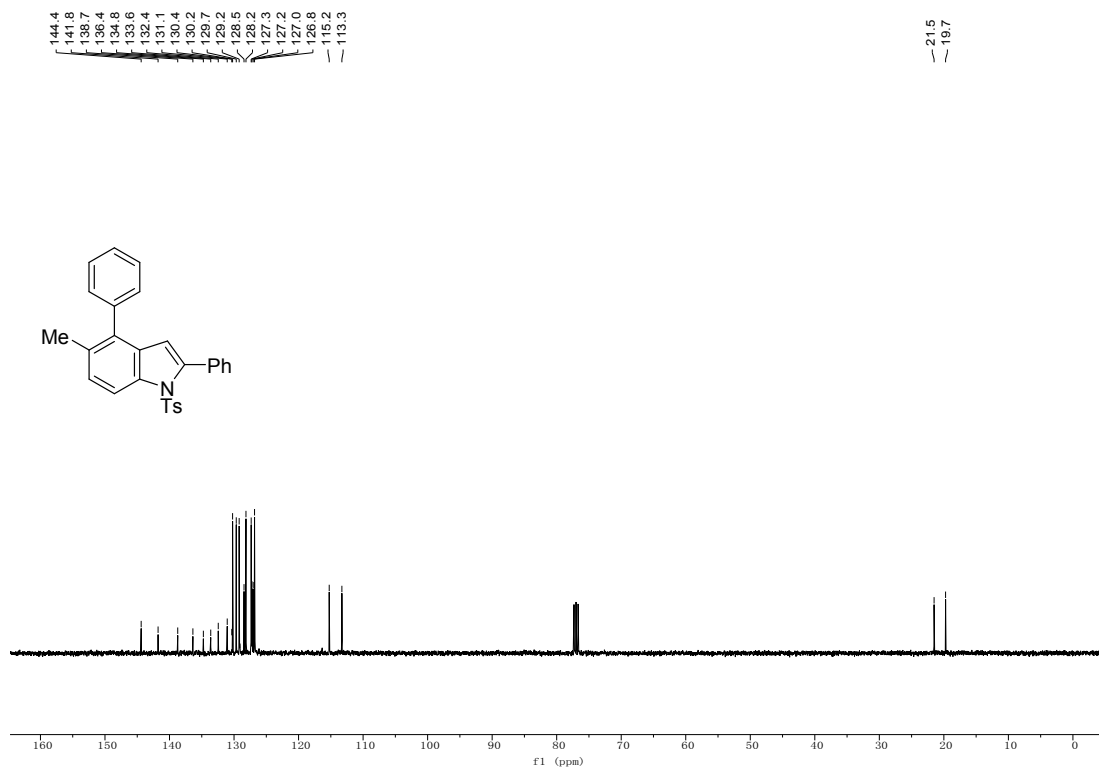


5-methyl-2,4-diphenyl-1-tosyl-1H-indole (29)

¹H NMR (400 MHz, CDCl₃)

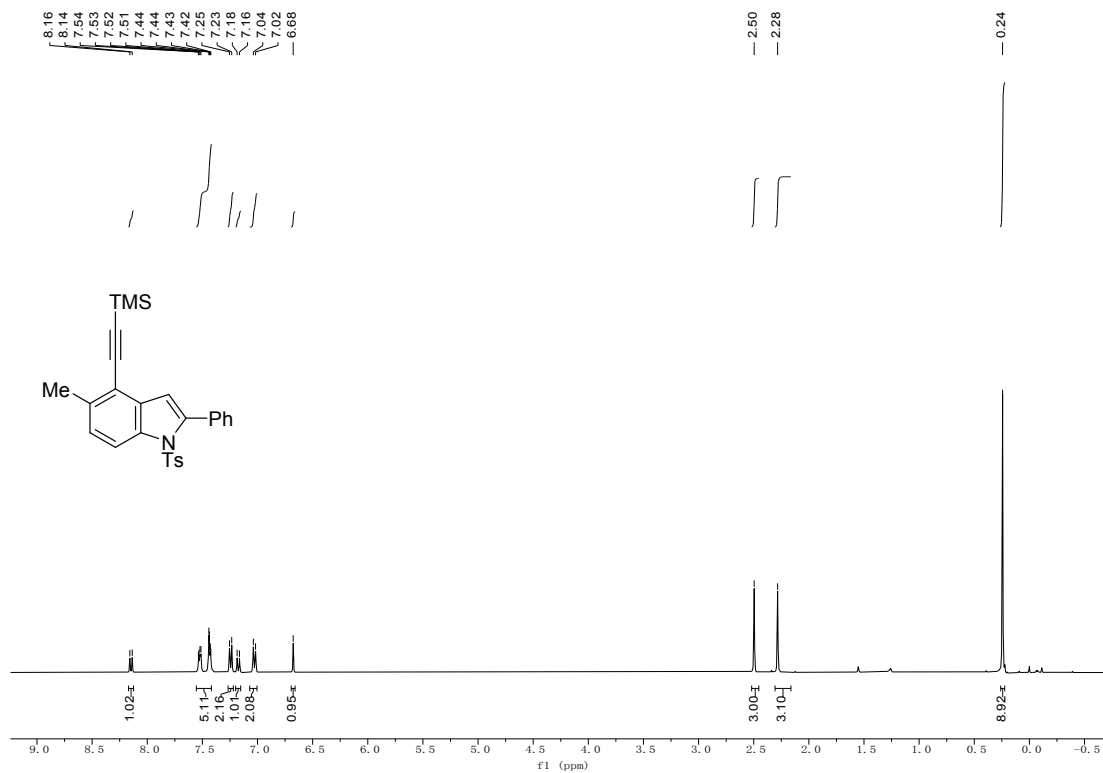


¹³C NMR (101 MHz, CDCl₃)

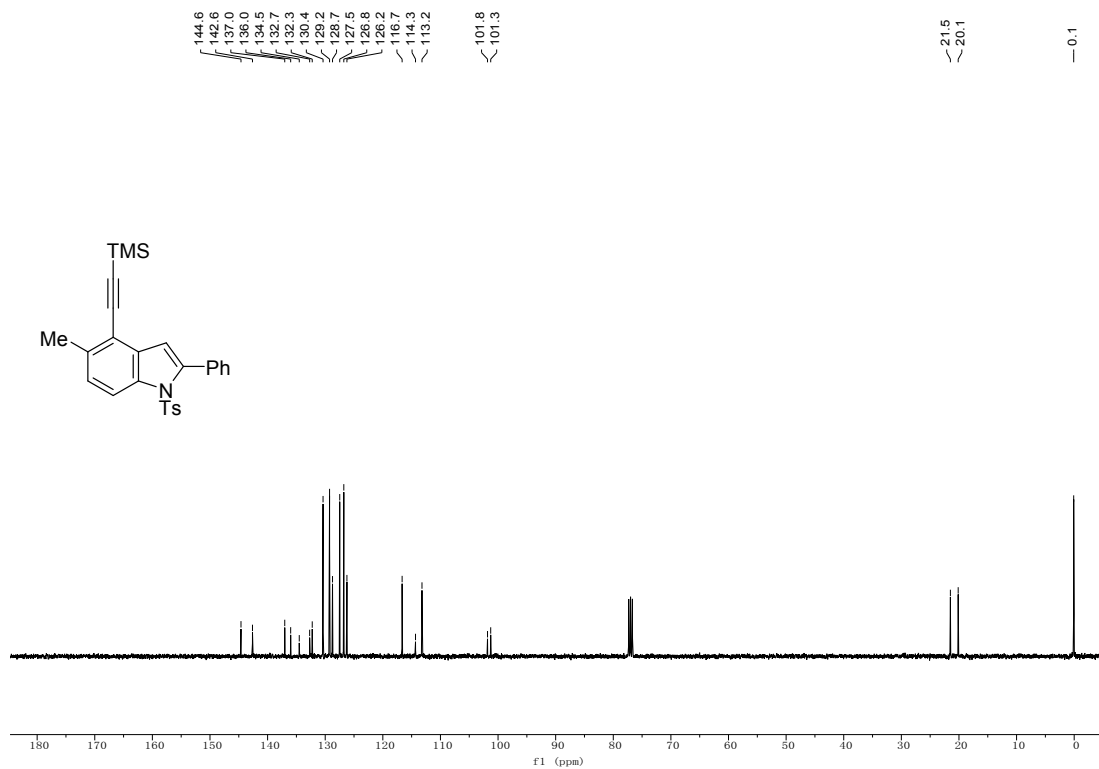


5-methyl-2-phenyl-1-tosyl-4-((trimethylsilyl)ethynyl)-1H-indole (30)

¹H NMR (400 MHz, CDCl₃)

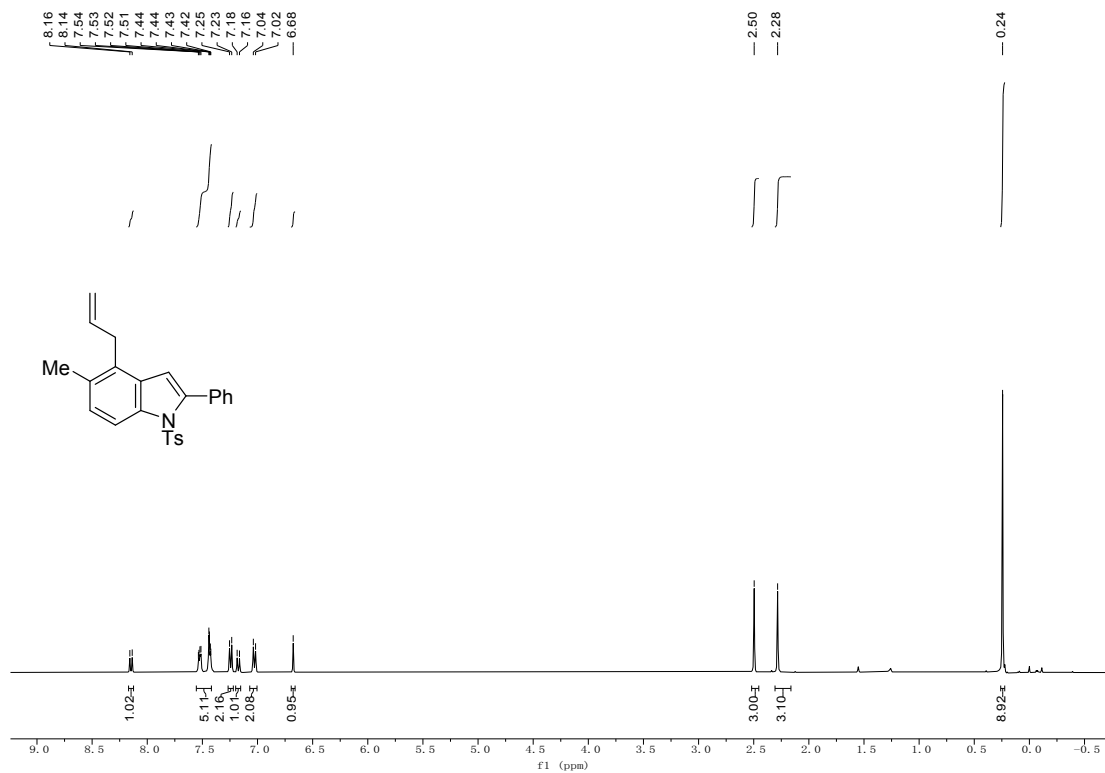


¹³C NMR (101 MHz, CDCl₃)

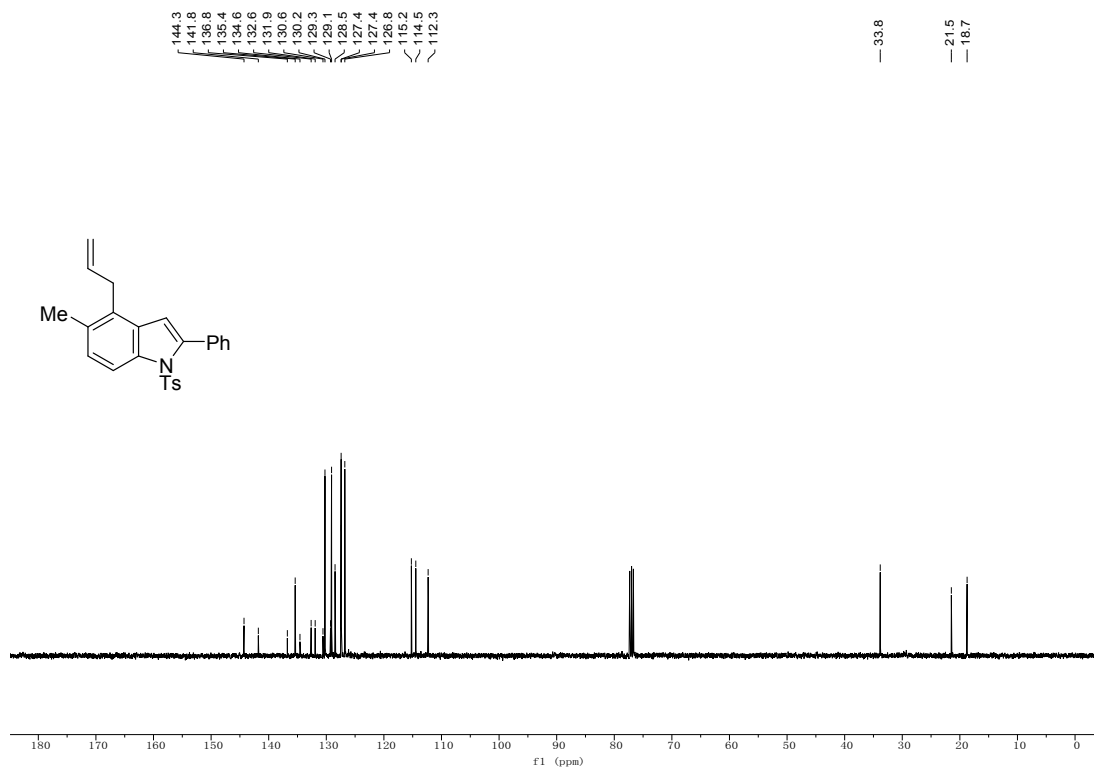


4-allyl-5-methyl-2-phenyl-1-tosyl-1H-indole (31)

¹H NMR (400 MHz, CDCl₃)

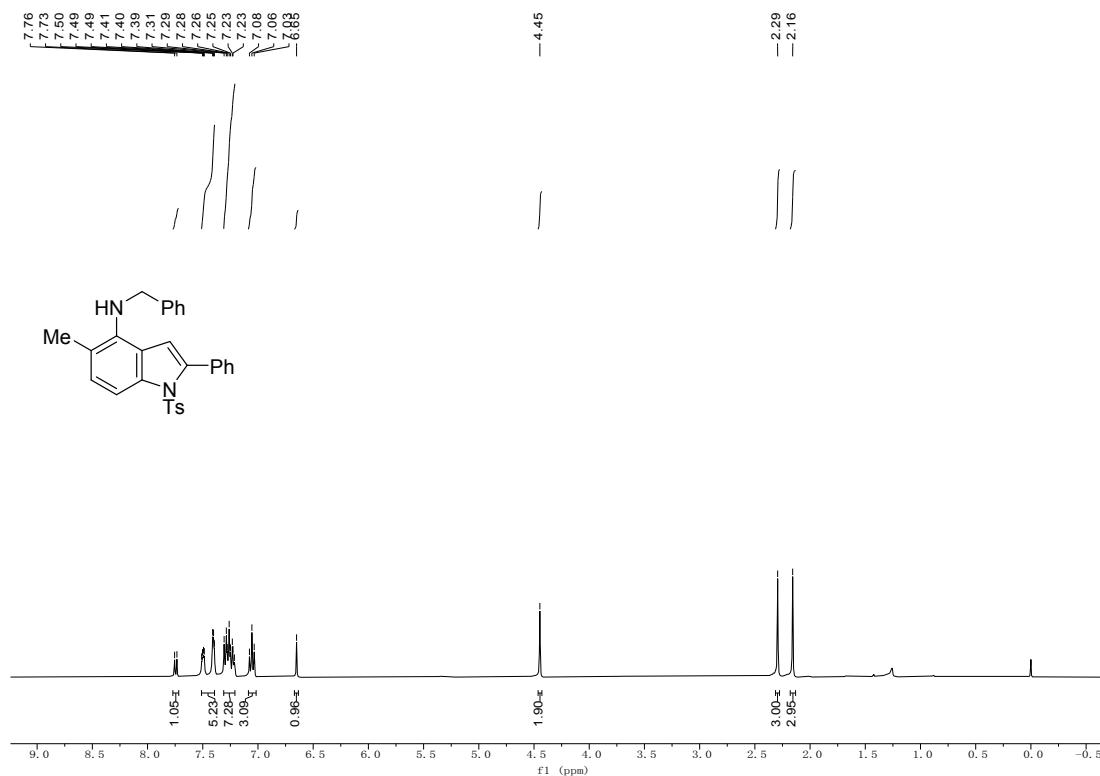


¹³C NMR (101 MHz, CDCl₃)

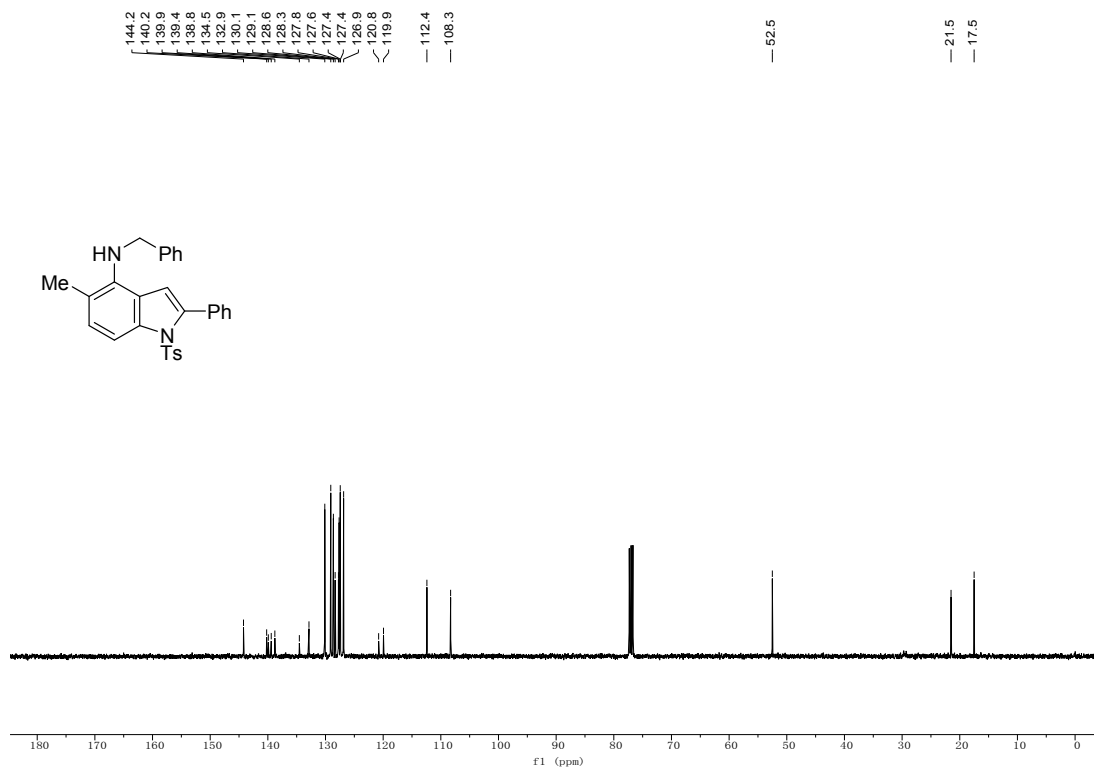


***N*-benzyl-5-methyl-2-phenyl-1-tosyl-1*H*-indol-4-amine (32)**

¹H NMR (400 MHz, CDCl₃)

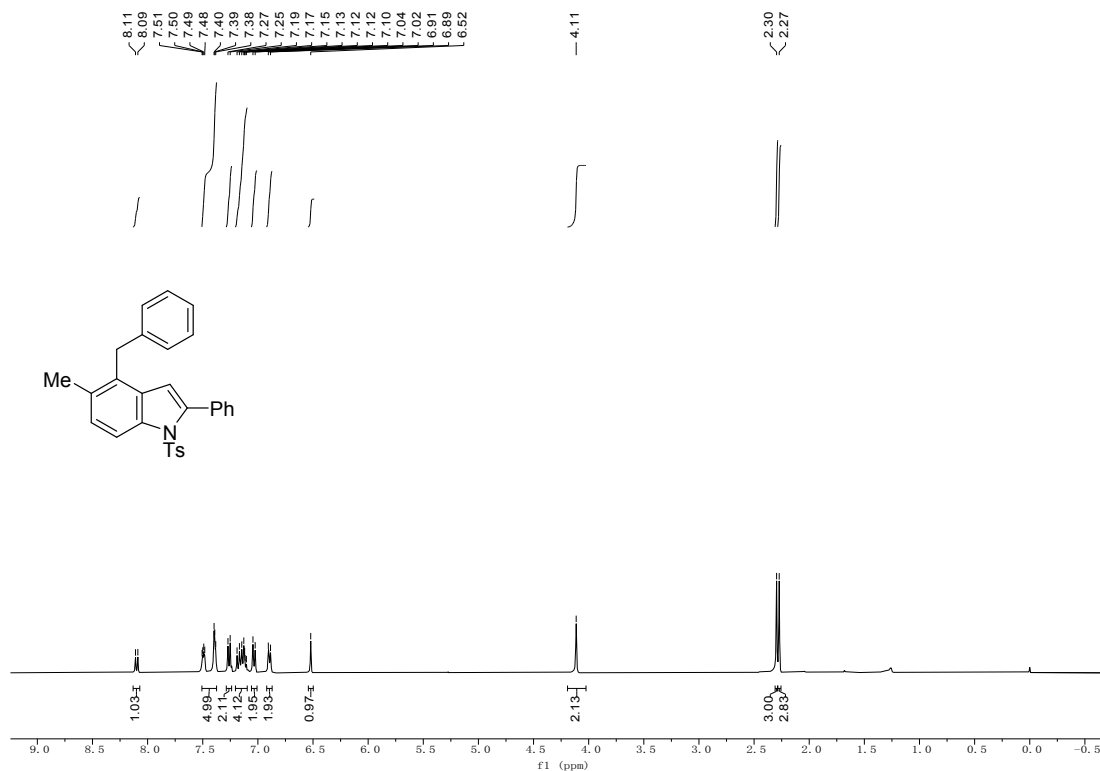


¹³C NMR (101 MHz, CDCl₃)

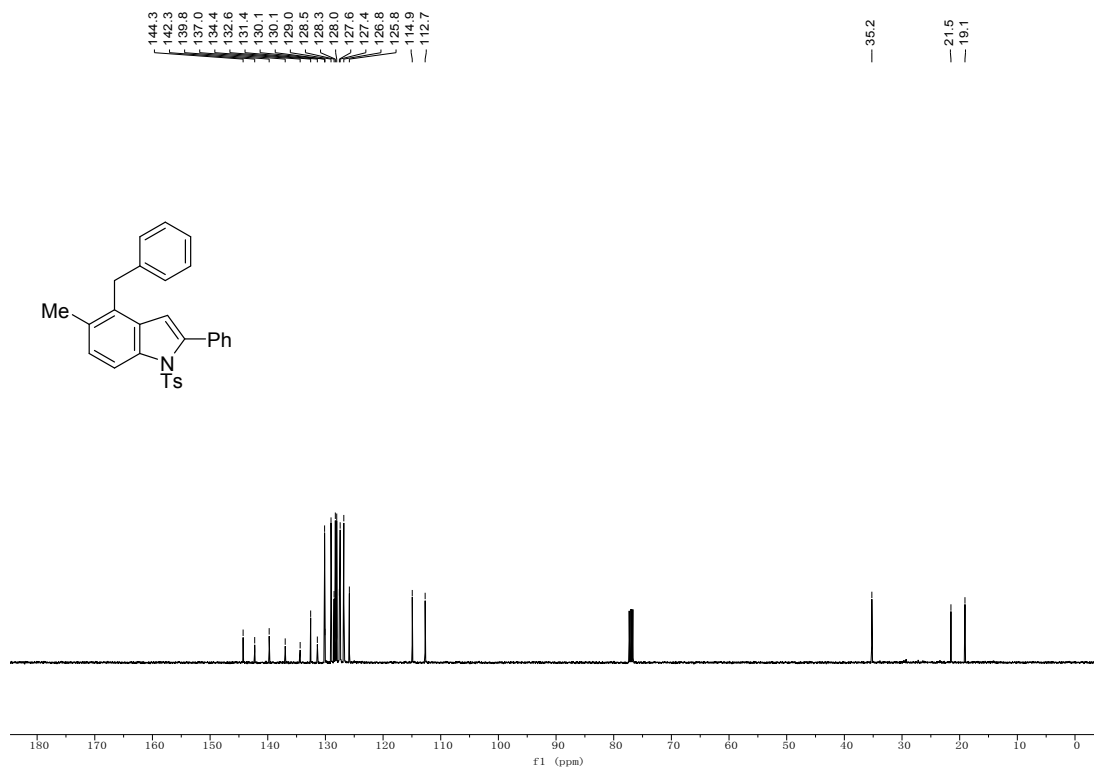


4-benzyl-5-methyl-2-phenyl-1-tosyl-1H-indole (33)

¹H NMR (400 MHz, CDCl₃)

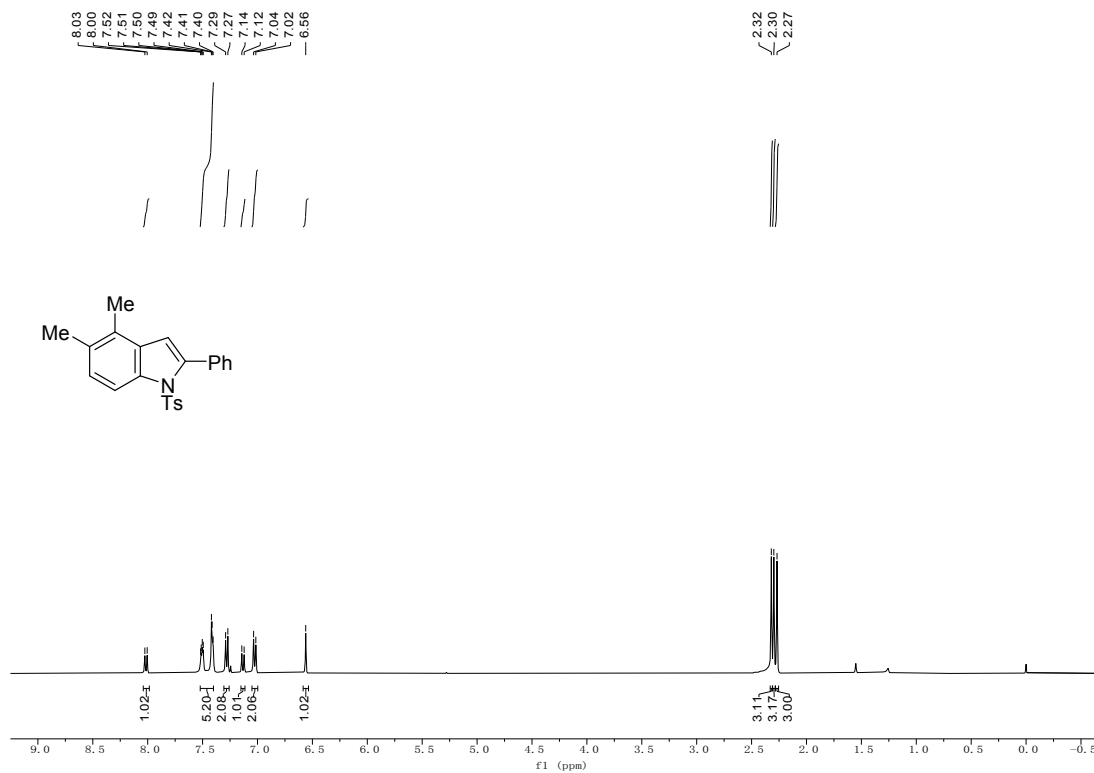


¹³C NMR (101 MHz, CDCl₃)

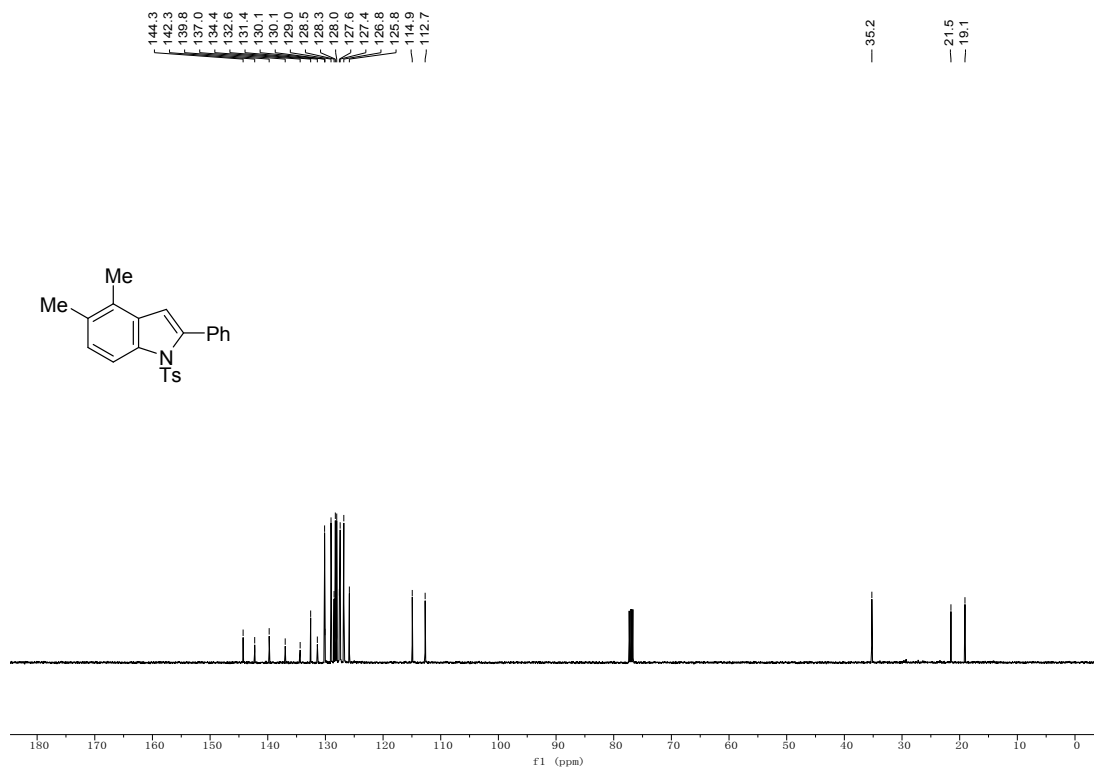


4,5-dimethyl-2-phenyl-1-tosyl-1H-indole (34)

¹H NMR (400 MHz, CDCl₃)

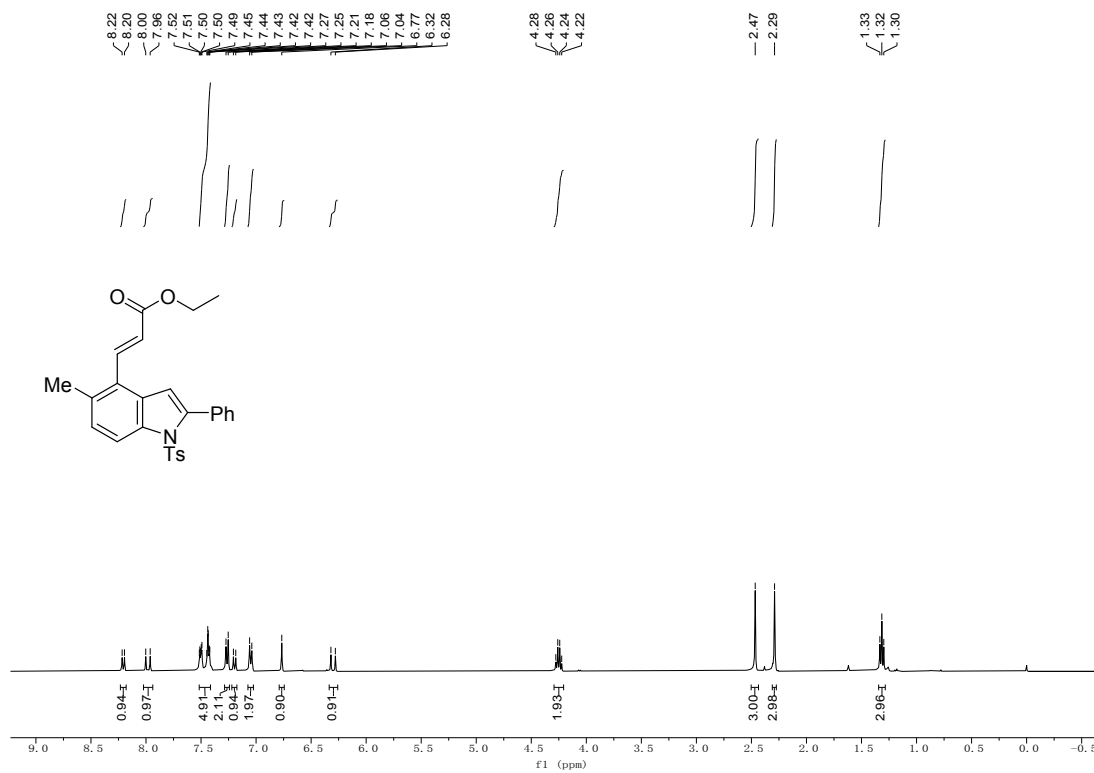


¹³C NMR (101 MHz, CDCl₃)



1-(5-methyl-2-phenyl-1-tosyl-1H-indol-4-yl)pent-1-en-3-one (35)

¹H NMR (400 MHz, CDCl₃)



¹³C NMR (101 MHz, CDCl₃)

