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Supplementary Information

Cascade Alkyl Migration in 2-Alkynylanilines for the Synthesis of Benzenoid Ring Multi-functionalized Indoles

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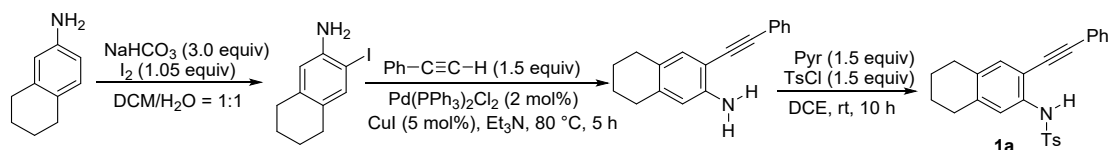
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1. General Experimental Procedures

1.1 General Information

All reactions were performed in a 25 mL of test tubes under air atmosphere. 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. High Resolution Mass spectra was recorded by Bruker MicroTOF II (ESI⁺).

1.2 Synthesis of Compound 1a¹

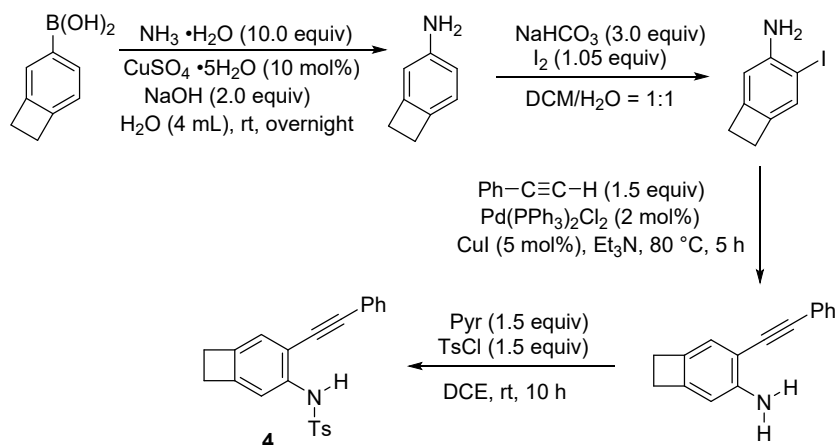


5,6,7,8-tetrahydronaphthalen-2-amine (1.47 g, 10 mmol), I_2 (2.67 g, 10.5 mmol), and NaHCO_3 (2.52 g, 30 mmol) were diluted on DCM (20 mL) and H_2O (20 mL). The resulting mixture was stirred at room temperature for 20 h. Then, the two phases were separated, and the aqueous layer was extracted with DCM (2 x 40 mL). The combined organic layer was washed with brine (20 mL), dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude compound was devoted to next step without further purification.

3-iodo-5,6,7,8-tetrahydronaphthalen-2-amine (1.36 g, 5 mmol), $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$ (70.2 mg, 0.1 mmol), CuI (47.75 mg, 0.25 mmol) and Phenylacetylene (765 mg, 7.5 mmol) were solubilized in triethylamine (20 mL). The resulting mixture was stirred 80°C for 5 h. The reaction mixture was quenched with H_2O (20 mL). Triethylamine was removed under reduced pressure. The aqueous layer was extracted with EA (3 x 30 mL). The combined organic phase was washed with brine (20 mL), dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude material was purified by flash column chromatography on silica gel (PE/EA = 5:1).

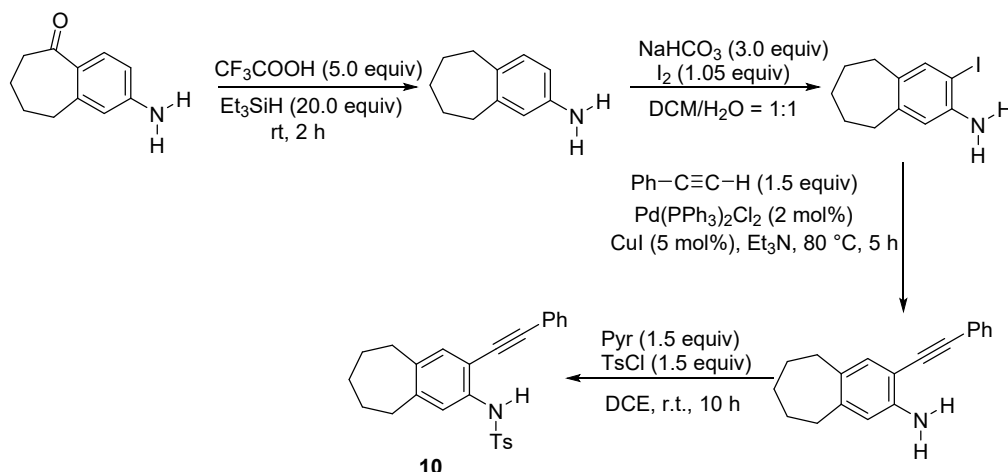
3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-amine (1.24 g, 5 mmol), pyridine (592.5 mg, 7.5 mmol), and 4-methylbenzenesulfonyl chloride (1.43 g, 7.5 mmol) were solubilized in DCE (20 mL). The resulting mixture was stirred at room temperature for 10 h and then quenched with H_2O (20 mL) and brine (20 mL), dried over anhydrous Na_2SO_4 , concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 10:1).

1.3 Synthesis of Compound 4²



bicyclo[4.2.0]octa-1,3,5-trien-3-ylboronic acid (1.47 g, 10 mmol), CuSO₄·5H₂O (0.25 g, 1 mmol), NaOH (0.80g, 20 mmol), H₂O (4 mL) and aqueous ammonia (50 mL) were added to a round-bottom flask (100 mL). The resulting mixture was stirred at room temperature overnight. After completion of the reaction, the mixture was extracted with EA (3 x 30 mL). The combined organic phase was washed with brine (20 mL), dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The crude was purified by flash column chromatography on silica gel (PE/EA = 5:1). The following steps are the same as above.

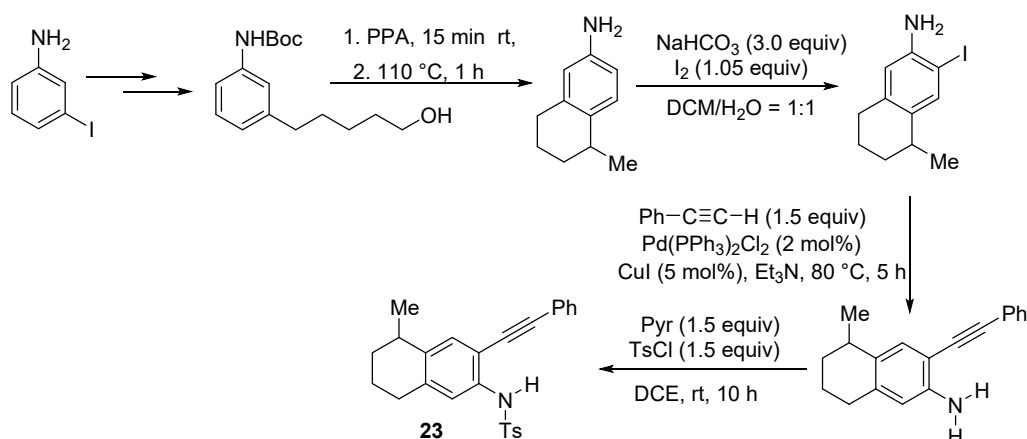
1.4 Synthesis of Compound 10³



2-amino-6,7,8,9-tetrahydro-5H-benzo[7]annulen-5-one (0.35 g, 2 mmol), CF₃COOH (1.14g, 10 mmol) and Et₃SiH (4.64 g, 40 mmol) were stirred at room temperature for 2 h. The reaction mixture was quenched with saturated NaHCO₃ (30

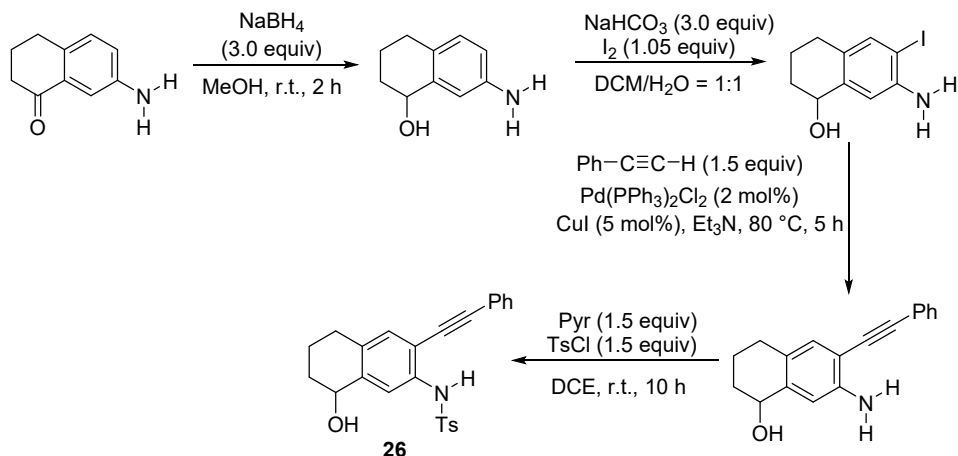
mL) and brine (20 mL), dried over Na₂SO₄, concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 10:1). The following steps are the same as above.

1.5 Synthesis of Compound 23⁴



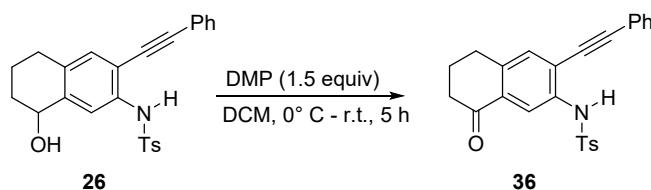
Tert-butyl (3-(5-hydroxypentyl)phenyl)carbamate (279 mg, 1 mmol) was dissolved in 550 mg of polyphosphoric acid (PPA) at room temperature and further heated to 110 °C for 1 h with stirring. The reaction mixture was poured to ice-cold water and stirred for 30 min. A 1.0 M NaOH (pH 7-8) solution was added to the mixture and then extracted with EA (3 x 30 mL). Then the EA layer was washed with deionized water (30 mL) followed by brine (50 mL), dried over Na₂SO₄, concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 10:1). The following steps are the same as above.

1.6 Synthesis of Compound 26



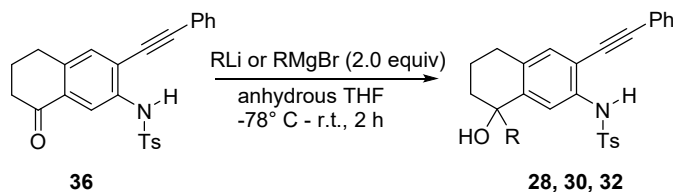
The procedures are the same as above.

1.7 Synthesis of Compound 36



Compound **26** (41.7 mg, 0.1 mmol) was dissolved in DCM (2 mL) at 0 °C for 10 min, and added DMP (63.6 mg, 0.15 mmol). Then the reaction mixture was further heated to room temperature for 5 h with stirring. Saturated NaHCO₃ solution was added to this mixture and then extracted with EA (3 x 10 mL), dried over Na₂SO₄, concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 5:1).

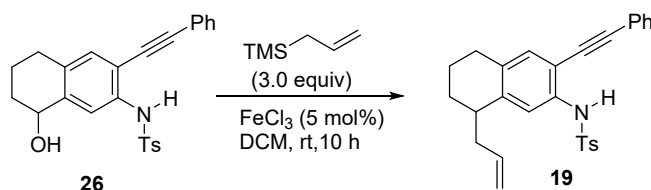
1.8 Synthesis of Compounds 28, 30, 32⁵



Compound **36** (41.5 mg, 0.1 mmol) was dissolved in anhydrous THF (2 mL) under

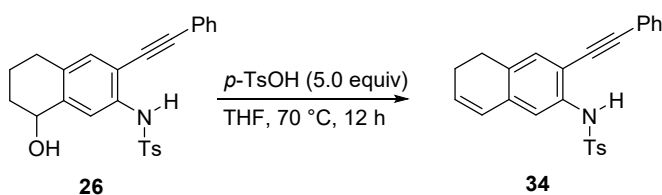
argon atmosphere at -78 °C for 10 minutes. Then Grignard or Lithium reagent was added to the solution (1.6 M). The reaction mixture was further heated slowly to at room temperature for 2 h with stirring. Saturated NH₄Cl was added to this mixture and then extracted with EA (3 x 10 mL), dried over Na₂SO₄ and concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 5:1).

1.9 Synthesis of Compound 19⁶



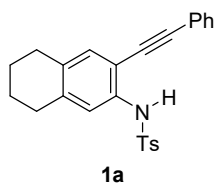
Compound **26** (41.7 mg, 0.1 mmol) was dissolved in DCM (2 mL), then added trimethylsilyl chloride (48 μ L, 0.3 mmol) and iron(III) chloride (1.35 mg, 0.005 mmol). The reaction mixture was stirred at room temperature for 10 h. The mixture was concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 10:1).

1.10 Synthesis of Compound 34⁷

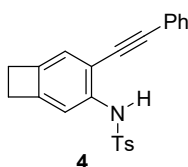


Compound **26** (41.7 mg, 0.1 mmol) and *p*-TsOH (86 mg, 0.5 mmol) were dissolved in THF (2 mL). The reaction mixture was heated at 70 °C for 12 h with stirring and concentrated under reduced pressure and purified by flash column chromatography on silica gel (PE/EA = 10:1).

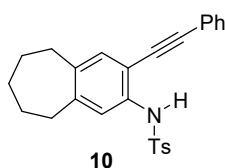
Analytical Data



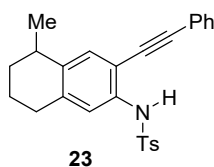
4-methyl-N-(3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)benzenesulfonamide 1a (eluent: petroleum ether/ethyl acetate 10:1), 56.1 mg, 70% yield; white solid; mp: 158-159 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.65 (d, $J = 8.0$ Hz, 2H), 7.44 – 7.32 (m, 6H), 7.12 (d, $J = 7.8$ Hz, 2H), 7.05 (s, 2H), 2.74 (s, 2H), 2.62 (s, 2H), 2.29 (s, 3H), 1.73 (s, 4H). ^{13}C NMR (100 MHz, CDCl_3) δ 143.6, 139.4, 136.2, 134.5, 134.0, 132.1, 131.3, 129.5, 128.6, 128.4, 127.1, 122.3, 121.4, 112.2, 94.7, 84.1, 29.5, 28.5, 22.7, 22.6, 21.4. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{25}\text{H}_{24}\text{NO}_2\text{S}$ 402.1522; Found : 402.1528.



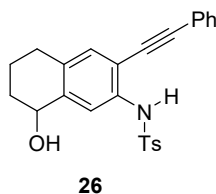
4-methyl-N-(4-(phenylethynyl)bicyclo[4.2.0]octa-1,3,5-trien-3-yl)benzenesulfonamide 4 (eluent: petroleum ether/ethyl acetate 10:1), 67.3 mg, 90% yield; yellow solid, mp: 126-127 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.64 (d, $J = 8.3$ Hz, 2H), 7.44 – 7.41 (m, 2H), 7.38 – 7.36 (m, 3H), 7.35 (s, 1H), 7.17 (s, 1H), 7.15 (d, $J = 8.2$ Hz, 2H), 7.02 (s, 1H), 3.17 – 3.15 (m, 2H), 3.11 – 3.09 (m, 2H), 2.33 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 147.7, 143.8, 142.1, 136.8, 136.2, 131.4, 129.5, 128.8, 128.5, 127.2, 125.7, 122.3, 116.4, 113.8, 95.2, 84.8, 29.7, 29.0, 21.5. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{23}\text{H}_{20}\text{NO}_2\text{S}$ 374.1209; Found : 374.1213.



4-methyl-*N*-(3-(phenylethynyl)-6,7,8,9-tetrahydro-5*H*-benzo[7]annulen-2-yl)benzenesulfonamide 10 (eluent: petroleum ether/ethyl acetate 10:1), 83.0 mg, 100% yield; white solid, mp: 152-153 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.65 (d, *J* = 8.3 Hz, 2H), 7.44 – 7.40 (m, 2H), 7.38 (s, 1H), 7.38 – 7.34 (m, 3H), 7.14 (d, *J* = 8.3 Hz, 2H), 7.09 (s, 1H), 7.04 (s, 1H), 2.78 – 2.76 (m, 2H), 2.69 – 2.67 (m, 2H), 2.32 (s, 3H), 1.82 – 1.77 (m, 2H), 1.63 – 1.56 (m, 4H). ¹³C NMR (100 MHz, CDCl₃) δ 145.8, 143.7, 140.4, 136.2, 135.2, 132.0, 131.4, 129.5, 128.7, 128.4, 127.2, 122.3, 121.7, 112.1, 95.0, 84.1, 36.7, 35.8, 32.4, 28.2, 28.1, 21.5. HRMS (ESI-TOF) *m/z*: Calcd for ([M+H]⁺): C₂₆H₂₆NO₂S 416.1679; Found : 416.1684.

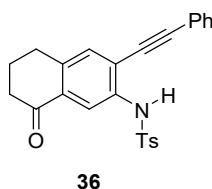


4-methyl-*N*-(5-methyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)benzenesulfonamide 23 (eluent: petroleum ether/ethyl acetate 10:1), 74.7 mg, 90% yield; white solid; mp: 158-159 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.67 (d, *J* = 8.3 Hz, 2H), 7.44 – 7.42 (m, 2H), 7.39 – 7.36 (m, 3H), 7.31 (s, 1H), 7.20 (s, 1H), 7.16 (d, *J* = 8.1 Hz, 2H), 7.03 (s, 1H), 2.81 – 2.72 (m, 3H), 2.33 (s, 3H), 1.92 – 1.83 (m, 2H), 1.72 – 1.68 (m, 1H), 1.52 – 1.43 (m, 1H), 1.23 (d, *J* = 7.0 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 143.7, 139.4, 139.1, 136.4, 134.5, 131.5, 131.4, 129.5, 128.7, 128.5, 127.2, 122.4, 121.0, 112.2, 94.8, 84.3, 31.9, 31.2, 30.2, 22.5, 21.5, 20.2. HRMS (ESI-TOF) *m/z*: Calcd for ([M+H]⁺): C₂₆H₂₆NO₂S 416.1679; Found : 416.1684.



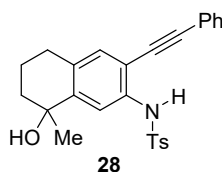
***N*-(8-hydroxy-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide 26** (eluent: petroleum ether/ethyl acetate 2:1), 83.4 mg, 100% yield; white solid; mp: 150-151 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.67 (d, *J* = 8.2 Hz, 3H), 7.44 – 7.42 (m, 2H), 7.39 – 7.35 (m, 3H), 7.14 (d, *J* = 8.1 Hz, 2H), 7.11

(s, 1H), 7.10 (s, 1H), 4.75 (t, $J = 4.7$ Hz, 1H), 2.77 – 2.55 (m, 2H), 2.31 (s, 3H), 2.24 (s, 1H), 2.01 – 1.82 (m, 3H), 1.79 – 1.67 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 143.8, 140.9, 136.2, 135.2, 133.8, 132.2, 131.5, 129.6, 128.9, 128.4, 127.2, 122.1, 120.9, 114.2, 95.4, 83.9, 67.8, 31.8, 28.4, 21.5, 18.7. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{25}\text{H}_{24}\text{NO}_3\text{S}$ 418.1471; Found : 418.1470.



4-methyl-N-(8-oxo-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-

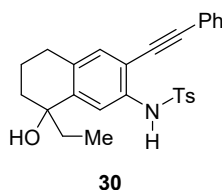
yl)benzenesulfonamide 36 (eluent: petroleum ether/ethyl acetate 5:1), 83.0 mg, 100% yield; white solid; mp: 165-166 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.16 (s, 1H), 7.74 (d, $J = 8.3$ Hz, 2H), 7.53 – 7.46 (m, 2H), 7.44 – 7.36 (m, 3H), 7.30 (s, 1H), 7.21 (s, 1H), 7.19 (d, $J = 8.1$ Hz, 2H), 2.85 (t, $J = 6.0$ Hz, 2H), 2.62 (t, $J = 6.2$ Hz, 2H), 2.33 (s, 3H), 2.06 (p, $J = 6.5$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 196.7, 144.1, 140.0, 136.1, 136.0, 132.9, 132.3, 131.7, 129.7, 129.5, 128.6, 127.4, 121.5, 119.2, 117.8, 98.7, 83.4, 38.8, 28.8, 22.9, 21.5. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{25}\text{H}_{22}\text{NO}_3\text{S}$ 416.1315; Found : 416.1320.



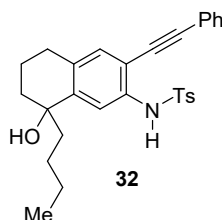
N-(8-hydroxy-8-methyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-

methylbenzenesulfonamide 28 (eluent: petroleum ether/ethyl acetate 2:1), 81.9 mg, 95% yield; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.79 (s, 1H), 7.67 (d, $J = 8.1$ Hz, 2H), 7.45 – 7.43 (m, 2H), 7.38 – 7.364 (m, 3H), 7.15 (d, $J = 8.0$ Hz, 2H), 7.10 (s, 1H), 7.08 (s, 1H), 2.74 – 2.59 (m, 2H), 2.31 (s, 3H), 2.12 (s, 1H), 1.91 – 1.87 (s, 3H), 1.81 – 1.72 (m, 1H), 1.52 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.8, 143.8, 136.1, 135.4, 132.9, 132.1, 131.5, 129.5, 128.9, 128.5, 127.4, 122.1, 118.6, 113.7, 95.4, 83.8, 70.4,

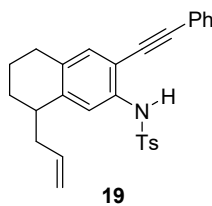
39.1, 30.7, 29.0, 21.5, 20.1. HRMS (ESI-TOF) m/z : Calcd for $([M+H]^+)$: $C_{26}H_{26}NO_3S$ 432.1628; Found : 432.1630.



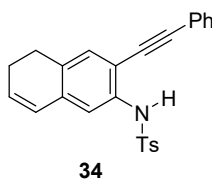
***N*-(8-ethyl-8-hydroxy-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide 30** (eluent: petroleum ether/ethyl acetate 2:1), 40.1 mg, 45% yield; yellow oil; 1H NMR (400 MHz, $CDCl_3$) δ 7.73 (s, 1H), 7.66 (d, J = 7.5 Hz, 2H), 7.47 – 7.42 (m, 2H), 7.39 – 7.30 (s, 3H), 7.15 (d, J = 7.8 Hz, 2H), 7.10 (s, 2H), 2.71 – 2.59 (m, 2H), 2.32 (s, 3H), 2.03 – 1.92 (m, 2H), 1.87 – 1.76 (m, 5H), 0.84 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.0, 143.8, 136.0, 135.3, 133.7, 132.2, 131.5, 129.5, 128.9, 128.5, 127.4, 122.1, 118.7, 113.7, 95.4, 83.8, 72.4, 34.9, 34.8, 29.0, 21.5, 19.4, 8.5. HRMS (ESI-TOF) m/z : Calcd for $([M+H]^+)$: $C_{27}H_{28}NO_3S$ 446.1784; Found : 446.1781.



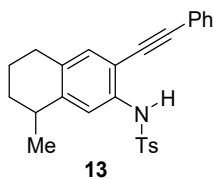
***N*-(8-butyl-8-hydroxy-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide 32** (eluent: petroleum ether/ethyl acetate 2:1), 28.4 mg, 30% yield; yellow oil; 1H NMR (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.68 (d, J = 8.3 Hz, 2H), 7.47 – 7.43 (m, 2H), 7.39 – 7.40 (m, 3H), 7.16 (d, J = 8.0 Hz, 2H), 7.10 (s, 2H), 2.73 – 2.58 (m, 2H), 2.33 (s, 3H), 2.00 - 1.93 (m, 1H), 1.87 – 1.72 (m, 6H), 1.36 – 1.24 (m, 3H), 1.17 – 1.07 (m, 1H), 0.90 (t, J = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.3, 143.8, 136.2, 135.4, 133.5, 132.2, 131.5, 129.5, 128.9, 128.5, 127.4, 122.1, 118.4, 113.6, 95.5, 83.8, 72.3, 42.2, 35.5, 29.0, 26.3, 23.1, 21.5, 19.4, 14.1. HRMS (ESI-TOF) m/z : Calcd for $([M+H]^+)$: $C_{29}H_{32}NO_3S$ 474.2097; Found : 474.2092.



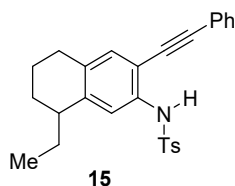
N*-(8-allyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide **19* (eluent: petroleum ether/ethyl acetate 10:1), 83.8 mg, 95% yield; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.65 (d, J = 8.3 Hz, 2H), 7.45 (s, 1H), 7.44 – 7.42 (m, 2H), 7.38 – 7.36 (m, 3H), 7.15 (d, J = 8.1 Hz, 2H), 7.07 (s, 1H), 7.04 (s, 1H), 5.83 – 5.70 (m, 1H), 5.07 (d, J = 6.8 Hz, 1H), 5.05 (d, J = 10.2 Hz, 1H), 2.89 – 2.85 (m, 1H), 2.67 – 2.57 (s, 2H), 2.48 – 2.42 (m, 1H), 2.34 – 2.27 (m, 4H), 1.81 – 1.76 (m, 2H), 1.71 – 1.64 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 143.8, 142.8, 136.6, 136.2, 134.7, 134.2, 132.2, 131.5, 129.5, 128.8, 128.5, 127.3, 122.3, 120.9, 116.6, 112.3, 94.9, 84.1, 40.9, 37.4, 29.0, 26.8, 21.5, 19.5. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{28}\text{H}_{28}\text{NO}_2\text{S}$ 442.1835; Found : 442.1838.



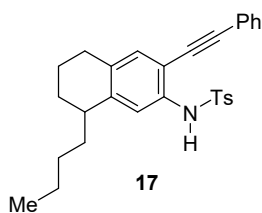
4-methyl-*N*-(3-(phenylethynyl)-5,6-dihydronaphthalen-2-yl)benzenesulfonamide **34** (eluent: petroleum ether/ethyl acetate 10:1), 75.8 mg, 95% yield; yellow solid; mp: 98-99 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.66 (d, J = 8.2 Hz, 2H), 7.44 – 7.41 (m, 2H), 7.39 – 7.35 (m, 3H), 7.30 (s, 1H), 7.15 (d, J = 8.1 Hz, 2H), 7.08 (s, 1H), 7.06 (s, 1H), 6.46 (d, J = 9.6 Hz, 1H), 6.14 – 6.06 (m, 1H), 2.70 (t, J = 8.2 Hz, 2H), 2.32 (s, 3H), 2.31 – 2.25 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 143.8, 136.2, 135.9, 135.5, 132.0, 131.4, 130.9, 130.5, 129.5, 128.8, 128.5, 127.4, 127.2, 122.3, 118.5, 112.9, 95.8, 84.4, 26.5, 23.1, 21.5. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{25}\text{H}_{22}\text{NO}_2\text{S}$ 400.1366; Found : 400.1369.



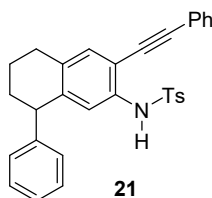
4-methyl-N-(8-methyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)benzenesulfonamide 13 (eluent: petroleum ether/ethyl acetate 10:1), 74.7 mg, 90% yield; white solid; mp: 106-107 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.66 (d, $J = 8.2$ Hz, 2H), 7.44 (s, 1H), 7.44 – 7.40 (m, 2H), 7.39 – 7.33 (m, 3H), 7.15 (d, $J = 8.1$ Hz, 2H), 7.05 (s, 1H), 7.04 (s, 1H), 2.93 – 2.85 (m, 1H), 2.69 – 2.57 (m, 2H), 2.32 (s, 3H), 1.93 – 1.76 (m, 2H), 1.73 – 1.63 (m, 1H), 1.53 – 1.46 (m, 1H), 1.26 (d, $J = 7.0$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.5, 143.8, 136.1, 134.8, 133.6, 132.1, 131.5, 129.5, 128.7, 128.4, 127.3, 122.3, 120.5, 112.1, 94.8, 84.1, 32.7, 30.9, 29.1, 22.7, 21.5, 20.1. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{26}\text{H}_{26}\text{NO}_2\text{S}$ 416.1679; Found : 416.1684.



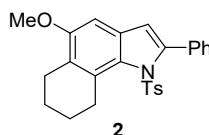
N-(8-ethyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide 15 (eluent: petroleum ether/ethyl acetate 10:1), 77.2 mg, 90% yield; white solid; mp: 112-113 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.65 (d, $J = 8.2$ Hz, 2H), 7.43 (s, 1H), 7.43 – 7.40 (m, 2H), 7.37 – 7.32 (m, 3H), 7.12 (d, $J = 8.1$ Hz, 2H), 7.07 (s, 1H), 7.04 (s, 1H), 2.69 – 2.66 (m, 1H), 2.61 (t, $J = 5.0$ Hz, 2H), 2.29 (s, 3H), 1.84 – 1.67 (m, 3H), 1.64 – 1.50 (m, 3H), 0.93 (t, $J = 7.4$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 143.7, 143.5, 136.1, 134.5, 134.0, 132.0, 131.4, 129.4, 128.6, 128.4, 127.2, 122.3, 121.0, 112.1, 94.7, 84.1, 39.1, 29.0, 28.9, 26.5, 21.4, 19.7, 11.5. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{27}\text{H}_{28}\text{NO}_2\text{S}$ 430.1835; Found : 430.1841.



***N*-(8-butyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide 17** (eluent: petroleum ether/ethyl acetate 10:1), 73.1 mg, 80% yield; white oil; ^1H NMR (400 MHz, CDCl_3) δ 7.66 (d, $J = 8.3$ Hz, 2H), 7.44 – 7.42 (m, 2H), 7.40 (s, 1H), 7.37 – 7.36 (m, 3H), 7.15 (d, $J = 8.1$ Hz, 2H), 7.06 (s, 1H), 7.04 (s, 1H), 2.81 – 2.70 (m, 1H), 2.64 – 2.62 (m, 2H), 2.33 (s, 3H), 1.82 – 1.77 (m, 2H), 1.67 – 1.48 (m, 4H), 1.40 – 1.28 (m, 4H), 0.94 (t, $J = 6.7$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.0, 143.7, 136.2, 134.7, 133.9, 132.1, 131.5, 129.5, 128.7, 128.5, 127.3, 122.4, 120.8, 112.0, 94.8, 84.2, 37.7, 36.4, 29.3, 29.0, 27.1, 22.9, 21.5, 19.7, 14.1. HRMS (ESI-TOF) m/z : Calcd for $([\text{M}+\text{H}]^+)$: $\text{C}_{29}\text{H}_{31}\text{NO}_2\text{S}$ 458.2148; Found : 458.2150.

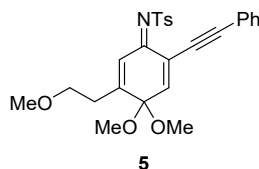


4-methyl-*N*-(8-phenyl-3-(phenylethynyl)-5,6,7,8-tetrahydronaphthalen-2-yl)benzenesulfonamide 21 (eluent: petroleum ether/ethyl acetate 10:1), 51.5 mg, 54% yield; white oil; ^1H NMR (400 MHz, CDCl_3) δ 7.47 – 7.45 (m, 2H), 7.43 (d, $J = 8.3$ Hz, 2H), 7.38 – 7.35 (m, 3H), 7.32 – 7.24 (m, 3H), 7.15 (s, 1H), 7.08 – 7.05 (m, 4H), 7.01 – 6.99 (m, 2H), 4.09 (t, $J = 7.2$ Hz, 1H), 2.80 – 2.67 (m, 2H), 2.32 (s, 3H), 2.16 – 2.09 (m, 1H), 1.87 – 1.79 (m, 2H), 1.75 – 1.62 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 146.5, 143.6, 141.6, 135.8, 134.9, 133.9, 132.2, 131.5, 129.4, 128.8, 128.7, 128.5, 128.3, 127.3, 126.1, 122.2, 121.1, 112.0, 95.3, 84.0, 45.5, 32.6, 28.9, 21.5, 20.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{31}\text{H}_{28}\text{NO}_2\text{S}$ ($[\text{M}+\text{H}]^+$): 478.1835; Found : 478.1840.

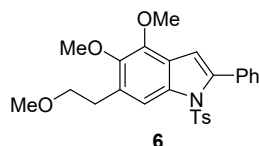


5-methoxy-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 2 (eluent: petroleum ether/ethyl acetate 5:1), 38.8 mg, 90% yield, white solid; mp: 166-167 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.44 – 7.43 (m, 2H), 7.36 – 7.35 (m, 3H), 6.94 – 6.89

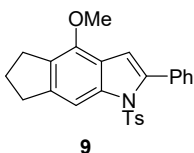
(m, 4H), 6.60 (s, 1H), 6.37 (s, 1H), 3.80 (s, 3H), 3.37 (t, $J = 5.6$ Hz, 2H), 2.78 (t, $J = 6.5$ Hz, 2H), 2.28 (s, 3H), 1.96 – 1.89 (m, 2H), 1.74 – 1.71 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.3, 145.8, 143.9, 135.0, 133.0, 132.9, 132.6, 132.0, 128.8, 128.2, 128.2, 127.7, 127.2, 124.7, 116.9, 98.7, 55.3, 29.4, 24.1, 22.7, 22.6, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{26}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 432.1628; Found : 432.1630.



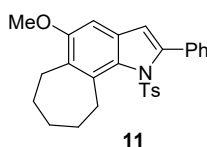
(Z)-N-(4,4-dimethoxy-5-(2-methoxyethyl)-2-(phenylethynyl)cyclohexa-2,5-dien-1-ylidene)-4-methylbenzenesulfonamide 5 (eluent: petroleum ether/ethyl acetate 5:1), 27.9 mg, 60% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.0$ Hz, 2H), 7.64 (s, 1H), 7.35 – 7.30 (m, 7H), 6.97 (s, 1H), 3.70 (t, $J = 6.3$ Hz, 2H), 3.42 (s, 3H), 3.25 (s, 6H), 2.66 (t, $J = 6.3$ Hz, 2H), 2.45 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 161.2, 156.6, 144.6, 143.5, 138.7, 131.8, 129.3, 129.0, 128.3, 128.2, 127.4, 127.2, 122.5, 122.2, 95.1, 82.7, 69.5, 58.7, 51.2, 29.8, 21.6.



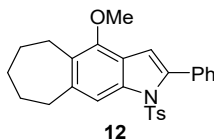
4,5-dimethoxy-6-(2-methoxyethyl)-2-phenyl-1-tosyl-1H-indole 6 (eluent: petroleum ether/ethyl acetate 10:1), 36.0 mg, 81% yield, white oil; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (s, 1H), 7.49 – 7.47 (m, 2H), 7.42 – 7.40 (m, 3H), 7.28 (d, $J = 8.3$ Hz, 2H), 7.05 (d, $J = 8.2$ Hz, 2H), 6.60 (s, 1H), 3.92 (s, 3H), 3.86 (s, 3H), 3.67 (t, $J = 7.1$ Hz, 2H), 3.43 (s, 3H), 3.05 (t, $J = 7.1$ Hz, 2H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 147.1, 144.5, 141.4, 135.2, 134.5, 132.5, 130.4, 130.2, 129.1, 128.6, 127.5, 126.9, 125.0, 123.6, 113.0, 110.5, 73.1, 61.0, 60.7, 58.6, 32.2, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{28}\text{NO}_5\text{S}$ ($[\text{M}+\text{H}]^+$): 466.1683; Found : 446.1690.



4-methoxy-2-phenyl-1-tosyl-1,5,6,7-tetrahydrocyclopenta[f]indole 9 (eluent: petroleum ether/ethyl acetate 10:1), 20.9 mg, 50% yield; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (s, 1H), 7.49 – 7.47(m, 2H), 7.41 – 7.39 (m, 3H), 7.27 (d, $J = 8.3$ Hz, 2H), 7.04 (d, $J = 8.2$ Hz, 2H), 6.61 (s, 1H), 3.90 (s, 3H), 3.04 (t, $J = 7.4$ Hz, 2H), 2.98 (t, $J = 7.3$ Hz, 2H), 2.29 (s, 3H), 2.16 – 2.09 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 148.2, 144.3, 144.3, 140.4, 139.4, 134.7, 132.8, 130.2, 129.2, 129.1, 128.3, 127.4, 126.8, 121.6, 110.8, 107.6, 60.0, 33.4, 29.6, 26.0, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{25}\text{H}_{24}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 418.1471; Found : 418.1470.

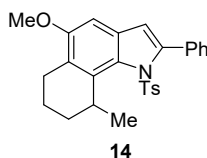


5-methoxy-2-phenyl-1-tosyl-1,6,7,8,9,10-hexahydrocyclohepta[g]indole 11 (eluent: petroleum ether/ethyl acetate 10:1), 28.5 mg, 64% yield, White solid; mp: 134-135 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.48 – 7.46 (m, 2H), 7.41 – 7.36 (m, 3H), 6.93 (d, $J = 8.2$ Hz, 2H), 6.84 (d, $J = 8.3$ Hz, 2H), 6.62 (s, 1H), 6.36 (s, 1H), 3.81 (s, 3H), 3.45 – 3.43(m, 2H), 3.05 – 3.02 (m, 2H), 2.30 (s, 3H), 1.96 – 1.87 (m, 2H), 1.85 – 1.77 (m, 2H), 1.72 – 1.62 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.6, 146.3, 143.9, 138.7, 135.1, 132.9, 132.7, 132.1, 131.4, 128.8, 128.3, 128.1, 127.7, 127.5, 117.2, 100.0, 56.0, 32.6, 32.5, 28.1, 27.5, 26.5, 21.6. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{28}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 446.1784; Found : 446.1786.



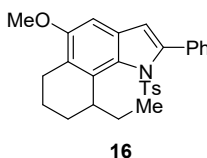
4-methoxy-2-phenyl-1-tosyl-1,5,6,7,8,9-hexahydrocyclohepta[f]indole 12 (eluent: petroleum ether/ethyl acetate 10:1), 5.8 mg, 13% yield, White solid; mp: 138-139 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.85 (s, 1H), 7.49 – 7.46 (m, 2H), 7.41 – 7.39 (m, 3H), 7.27 (d, $J = 8.3$ Hz, 2H), 7.03 (d, $J = 8.1$ Hz, 2H), 6.57 (s, 1H), 3.77 (s, 3H), 2.97 – 2.94 (m, 2H), 2.91 – 2.89 (m, 2H), 2.29 (s, 3H), 1.87 – 1.81 (m, 2H), 1.72 – 1.69 (m, 2H),

1.66 – 1.59 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 149.5, 144.4, 143.0, 140.7, 137.7, 134.7, 132.6, 131.3, 130.2, 129.1, 128.4, 127.4, 126.8, 122.0, 112.6, 110.7, 61.8, 37.4, 32.5, 28.9, 28.4, 26.0, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{28}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 446.1784; Found : 446.1786.



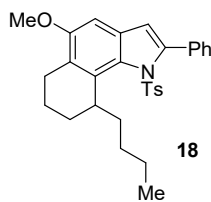
5-methoxy-9-methyl-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 14

(eluent: petroleum ether/ethyl acetate 10:1), 33.4 mg, 75% yield, White solid, mp: 160–161 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.48 – 7.46 (m, 2H), 7.40 – 7.33 (m, 3H), 6.92 (d, $J = 8.2$ Hz, 2H), 6.87 (d, $J = 8.2$ Hz, 2H), 6.56 (s, 1H), 6.37 (s, 1H), 4.39 – 4.31 (m, 1H), 3.80 (s, 3H), 2.83 – 2.69 (m, 2H), 2.29 (s, 3H), 2.15 – 2.08 (m, 1H), 2.0 – 1.91 (m, 1H), 1.84 – 1.74 (m, 1H), 1.49 – 1.41 (m, 4H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.2, 146.1, 143.9, 137.6, 134.7, 133.0, 133.1, 132.1, 128.6, 128.2, 128.1, 127.8, 127.3, 125.4, 117.2, 98.7, 55.4, 31.8, 31.0, 24.9, 21.5, 20.9, 20.0. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{28}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 446.1784; Found : 446.1790.



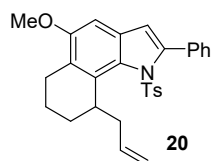
5-methoxy-9-methyl-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 16

(eluent: petroleum ether/ethyl acetate 10:1), 29.8 mg, 65% yield, White solid, mp: 157–158 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.48 – 7.45 (m, 2H), 7.41 – 7.35 (m, 3H), 6.92 (d, $J = 8.1$ Hz, 2H), 6.87 (d, $J = 8.4$ Hz, 2H), 6.55 (s, 1H), 6.37 (s, 1H), 4.31 – 4.24 (m, 1H), 3.80 (s, 3H), 2.83 – 2.69 (m, 2H), 2.29 (s, 3H), 2.11 – 2.01 (m, 1H), 2.00 – 1.90 (m, 1H), 1.82 – 1.62 (m, 4H), 0.87 (t, $J = 7.4$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.2, 146.1, 143.9, 136.7, 134.8, 133.1, 132.7, 132.1, 128.6, 128.2, 128.1, 127.8, 127.4, 125.6, 117.2, 98.7, 55.4, 36.5, 27.1, 26.9, 24.4, 21.5, 19.3, 11.4. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{28}\text{H}_{30}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 460.1941; Found : 460.1946.



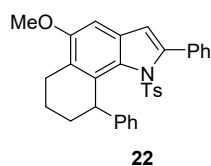
9-butyl-5-methoxy-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 18

(eluent: petroleum ether/ethyl acetate 10:1), 23.4 mg, 46% yield, white oil; ^1H NMR (400 MHz, CDCl_3) δ 7.47 – 7.45 (m, 2H), 7.41 – 7.35 (m, 3H), 6.93 (d, J = 8.2 Hz, 2H), 6.86 (d, J = 8.3 Hz, 2H), 6.55 (s, 1H), 6.38 (s, 1H), 4.38 – 4.32 (m, 1H), 3.81 (s, 3H), 2.76 (t, J = 6.4 Hz, 2H), 2.30 (s, 3H), 2.11 – 2.05 (m, 1H), 1.99 – 1.91 (m, 2H), 1.83 – 1.74 (m, 1H), 1.72 – 1.60 (m, 2H), 1.42 – 1.33 (m, 2H), 1.32 – 1.20 (m, 2H), 0.92 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.2, 146.1, 143.9, 137.2, 134.7, 133.1, 132.8, 132.1, 128.6, 128.2, 128.1, 127.8, 127.4, 125.5, 117.2, 98.6, 55.4, 35.0, 34.2, 29.1, 27.2, 24.3, 23.1, 21.6, 19.2, 14.2. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{30}\text{H}_{33}\text{NO}_3\text{SNa}$ ($[\text{M}+\text{Na}]^+$): 510.2073; Found : 510.2072.

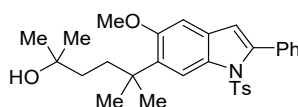


9-allyl-5-methoxy-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 20

(eluent: petroleum ether/ethyl acetate 10:1), 28.8 mg, 61% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.48 – 7.47 (m, 2H), 7.41 – 7.35 (m, 3H), 6.93 (d, J = 8.3 Hz, 2H), 6.88 (d, J = 8.3 Hz, 2H), 6.56 (s, 1H), 6.39 (s, 1H), 5.81 – 5.71 (m, 1H), 4.98 (d, J = 17.0 Hz, 1H), 4.92 (d, J = 10.1 Hz, 1H), 4.45 – 4.39 (m, 1H), 3.81 (s, 3H), 2.90 – 2.83 (m, 1H), 2.81 – 2.69 (m, 2H), 2.57 – 2.50 (m, 1H), 2.30 (s, 3H), 2.09 – 2.01 (m, 1H), 1.99 – 1.90 (m, 1H), 1.82 – 1.72 (m, 1H), 1.67 – 1.62 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.2, 146.1, 144.0, 137.5, 135.8, 134.6, 133.0, 132.9, 132.0, 128.6, 128.2, 128.1, 127.8, 127.4, 125.9, 117.2, 115.6, 98.9, 55.4, 38.4, 35.2, 27.5, 24.6, 21.6, 19.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{29}\text{H}_{30}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 472.1941; Found : 472.1946.

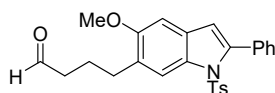


5-methoxy-2,9-diphenyl-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 22 (eluent: petroleum ether/ethyl acetate 10:1), 12.7 mg, 25% yield, white oil; ¹H NMR (400 MHz, CDCl₃) δ 7.25 – 7.22 (m, 6H), 7.03 – 7.02 (m, 2H), 6.92 – 6.90 (m, 4H), 6.78 (d, *J* = 8.3 Hz, 2H), 6.63 (s, 1H), 6.22 (s, 1H), 5.39 (dd, *J* = 9.4, 6.1 Hz, 1H), 3.87 (s, 3H), 2.99 – 2.92 (m, 1H), 2.84 – 2.76 (m, 1H), 2.35 – 2.29 (m, 4H), 2.04 – 2.00 (m, 1H), 1.95 – 1.86 (m, 1H), 1.68 – 1.61 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 156.2, 147.2, 146.1, 143.7, 135.4, 135.2, 133.3, 132.5, 132.3, 128.7, 128.5, 128.1, 128.1, 127.8, 127.5, 127.2, 126.5, 125.3, 116.6, 99.1, 55.5, 44.0, 34.5, 25.0, 21.5, 21.1. HRMS (ESI-TOF) *m/z*: Calcd for C₃₂H₂₉NO₃SNa ([*M*+Na]⁺): 530.1760; Found : 530.1761.



25

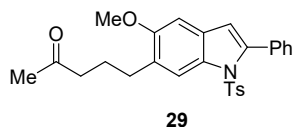
5-(5-methoxy-2-phenyl-1-tosyl-1*H*-indol-6-yl)-2,5-dimethylhexan-2-ol 25 (eluent: petroleum ether/ethyl acetate 10:1), 26.8 mg, 53% yield, white oil; ¹H NMR (400 MHz, CDCl₃) δ 8.19 (s, 1H), 7.59 – 7.57 (m, 2H), 7.47 – 7.45 (m, 3H), 7.29 (d, *J* = 8.1 Hz, 2H), 7.06 (d, *J* = 8.1 Hz, 2H), 6.86 (s, 1H), 6.50 (s, 1H), 3.84 (s, 3H), 2.29 (s, 3H), 2.02 – 1.97 (m, 2H), 1.51 (s, 6H), 1.32 (s, 3H), 1.30 – 1.28 (m, 1H), 1.26 (s, 3H), 1.25 – 1.23 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 156.3, 144.3, 142.7, 134.0, 134.0, 132.8, 132.6, 130.0, 129.6, 129.0, 128.4, 127.5, 126.8, 116.3, 113.7, 101.9, 55.1, 38.5, 37.5, 37.2, 34.8, 34.7, 28.9, 26.6, 26.3, 21.5. HRMS (ESI-TOF) *m/z*: Calcd for C₃₀H₃₅NO₄SNa ([*M*+Na]⁺) 528.2179; Found : 528.2185.



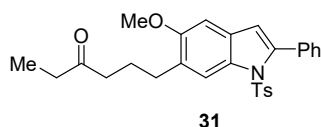
27

4-(5-methoxy-2-phenyl-1-tosyl-1*H*-indol-6-yl)butanal 27 (eluent: petroleum ether/ethyl acetate 10:1), 27.3 mg, 70% yield, grey solid; mp: 87-88 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.78 (s, 1H), 8.03 (s, 1H), 7.50 - 7.48 (m, 2H), 7.42 – 7.40 (m, 3H), 7.24 (d, *J* = 8.3 Hz, 2H), 7.03 (d, *J* = 8.2 Hz, 2H), 6.82 (s, 1H), 6.46 (s, 1H), 3.81 (s, 3H), 2.81 (t, *J* = 7.4 Hz, 2H), 2.46 (td, *J* = 7.5, 1.3 Hz, 2H), 2.28 (s, 3H), 2.04 – 1.97 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 202.7, 155.2, 144.4, 142.2, 134.4, 132.5, 132.4,

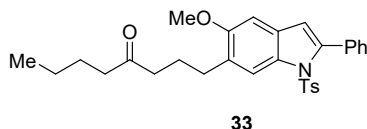
130.1, 129.9, 129.1, 128.5, 127.9, 127.5, 126.7, 118.0, 113.8, 101.0, 55.4, 43.3, 30.4, 22.8, 21.5. HRMS (ESI-TOF) m/z : Calcd for $C_{26}H_{26}NO_4S$ ($[M+H]^+$): 448.1577; Found : 448.1583.



5-(5-methoxy-2-phenyl-1-tosyl-1H-indol-6-yl)pentan-2-one 29 (eluent: petroleum ether/ethyl acetate 10:1), 27.7 mg, 60% yield, white solid; mp: 101-102 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.50 – 7.48 (m, 2H), 7.42 – 7.40 (m, 3H), 7.24 (d, J = 8.3 Hz, 2H), 7.03 (d, J = 8.1 Hz, 2H), 6.82 (s, 1H), 6.46 (s, 1H), 3.81 (s, 3H), 2.77 (t, J = 7.4 Hz, 2H), 2.48 (t, J = 7.5 Hz, 2H), 2.28 (s, 3H), 2.16 (s, 3H), 1.98 – 1.91 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 209.1, 155.3, 144.4, 142.2, 134.4, 132.6, 132.5, 130.1, 129.7, 129.1, 128.5, 128.3, 127.5, 126.8, 118.0, 113.8, 101.0, 55.4, 43.3, 30.4, 29.8, 24.4, 21.5. HRMS (ESI-TOF) m/z : Calcd for $C_{27}H_{28}NO_4S$ ($[M+H]^+$): 462.1734; Found : 462.1739.

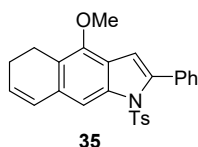


6-(5-methoxy-2-phenyl-1-tosyl-1H-indol-6-yl)hexan-3-one 31 (eluent: petroleum ether/ethyl acetate 10:1), 27.6 mg, 58% yield, white solid; mp: 125-126 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.50 – 7.48 (m, 2H), 7.46 – 7.38 (m, 3H), 7.24 (d, J = 7.9 Hz, 2H), 7.03 (d, J = 8.0 Hz, 2H), 6.81 (s, 1H), 6.46 (s, 1H), 3.80 (s, 3H), 2.76 (t, J = 7.4 Hz, 2H), 2.48 – 2.43 (m, 4H), 2.28 (s, 3H), 1.98 – 1.91 (m, 2H), 1.07 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 211.7, 155.3, 144.3, 142.1, 134.4, 132.6, 132.5, 130.1, 129.7, 129.1, 128.5, 128.4, 127.5, 126.8, 118.0, 113.9, 101.0, 55.4, 42.0, 35.8, 30.5, 24.5, 21.5, 7.8. HRMS (ESI-TOF) m/z : Calcd for $C_{28}H_{30}NO_4S$ ($[M+H]^+$): 476.1890; Found : 476.1895.

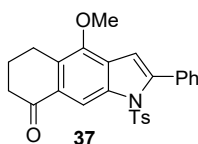


1-(5-methoxy-2-phenyl-1-tosyl-1H-indol-6-yl)octan-4-one 33 (eluent: petroleum ether/ethyl acetate 10:1), 30.7 mg, 61% yield, white solid; mp: 130-131 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.51 – 7.48 (m, 2H), 7.43 – 7.40 (m, 3H), 7.24 (d, J

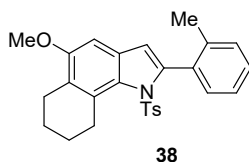
= 8.3 Hz, 2H), 7.03 (d, J = 8.1 Hz, 2H), 6.81 (s, 1H), 6.45 (s, 1H), 3.81 (s, 3H), 2.76 (t, J = 7.5 Hz, 2H), 2.48 – 2.40 (m, 4H), 2.28 (s, 3H), 1.98 – 1.92 (m, 2H), 1.61 – 1.53 (m, 2H), 1.37 – 1.28 (m, 2H), 0.91 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 211.4, 155.3, 144.3, 142.1, 134.4, 132.6, 132.5, 130.1, 129.7, 129.1, 128.5, 128.4, 127.5, 126.8, 118.0, 113.9, 101.0, 55.4, 42.5, 42.4, 30.6, 26.0, 24.5, 22.4, 21.5, 13.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{30}\text{H}_{34}\text{NO}_4\text{S}$ ($[\text{M}+\text{H}]^+$): 504.2203; Found : 504.2205.



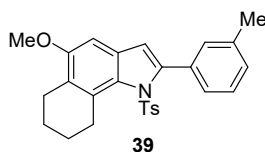
4-methoxy-2-phenyl-1-tosyl-7,8-dihydro-1H-benzo[f]indole 35 (eluent: petroleum ether/ethyl acetate 10:1), 26.6 mg, 62% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.77 (s, 1H), 7.49 – 7.47 (m, 2H), 7.42 – 7.39 (m, 3H), 7.27 (d, J = 8.3 Hz, 2H), 7.04 (d, J = 8.2 Hz, 2H), 6.63 (d, J = 9.7 Hz, 1H), 6.60 (s, 1H), 6.10 – 6.05 (m, 1H), 3.82 (s, 3H), 2.87 (t, J = 8.0 Hz, 2H), 2.35 – 2.30 (m, 2H), 2.29 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 149.1, 144.5, 141.0, 138.3, 134.7, 132.9, 132.5, 130.3, 129.2, 128.6, 128.5, 127.5, 126.8, 126.7, 122.9, 122.8, 110.8, 110.2, 60.9, 22.9, 21.5, 20.2. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{24}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 430.1471; Found : 430.1481.



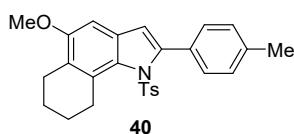
4-methoxy-2-phenyl-1-tosyl-1,6,7,8-tetrahydro-5H-benzo[f]indol-5-one 37 (eluent: petroleum ether/ethyl acetate 10:1), 24.9 mg, 56% yield, white oil; ^1H NMR (400 MHz, CDCl_3) δ 8.77 (s, 1H), 7.53 – 7.50 (m, 2H), 7.47 – 7.43 (m, 3H), 7.33 (d, J = 8.4 Hz, 2H), 7.06 (d, J = 8.1 Hz, 2H), 6.65 (s, 1H), 3.89 (s, 3H), 2.99 (t, J = 6.1 Hz, 2H), 2.70 (t, J = 6.1 Hz, 2H), 2.30 (s, 3H), 2.16 – 2.09 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 197.9, 149.4, 144.8, 144.6, 137.8, 134.6, 131.9, 131.0, 130.7, 130.3, 129.4, 129.1, 127.6, 127.2, 127.0, 111.2, 109.7, 60.9, 39.2, 23.1, 22.8, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{24}\text{NO}_4\text{S}$ ($[\text{M}+\text{H}]^+$): 446.1421; Found : 446.1426.



5-methoxy-2-(*o*-tolyl)-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 38 (eluent: petroleum ether/ethyl acetate 10:1), 38.8 mg, 86% yield, grey solid; mp: 174-175 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.28 – 7.24 (m, 1H), 7.20 (d, *J* = 7.2 Hz, 1H), 7.16 (d, *J* = 7.3 Hz, 1H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.98 (d, *J* = 8.5 Hz, 2H), 6.95 (d, *J* = 8.5 Hz, 2H), 6.67 (s, 1H), 6.32 (s, 1H), 3.83 (s, 3H), 3.36 (t, *J* = 5.5 Hz, 2H), 2.80 (t, *J* = 6.4 Hz, 2H), 2.31 (s, 6H), 1.95 – 1.89 (m, 2H), 1.77 – 1.67 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.1, 144.3, 144.0, 137.9, 134.5, 133.8, 132.5, 132.4, 131.7, 130.4, 130.2, 128.5, 128.4, 127.0, 124.9, 124.7, 117.8, 98.6, 55.4, 29.7, 24.2, 22.8, 22.6, 21.5, 20.9. HRMS (ESI-TOF) *m/z*: Calcd for C₂₇H₂₈NO₃S ([M+H]⁺): 446.1784; Found : 446.1780.

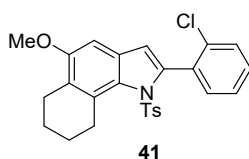


5-methoxy-2-(*m*-tolyl)-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 39 (eluent: petroleum ether/ethyl acetate 5:1), 33.4 mg, 75% yield, grey solid; mp: 180-181 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.27 – 7.22 (m, 3H), 7.17 – 7.14 (m, 1H), 6.95 – 6.91 (m, 4H), 6.60 (s, 1H), 6.36 (s, 1H), 3.81 (s, 3H), 3.37 (t, *J* = 5.9 Hz, 2H), 2.78 (t, *J* = 6.7 Hz, 2H), 2.38 (s, 3H), 2.29 (s, 3H), 1.95 – 1.89 (m, 2H), 1.75 – 1.68 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.3, 146.0, 143.9, 137.2, 135.0, 132.9, 132.9, 132.8, 132.0, 129.5, 129.0, 128.2, 127.6, 127.3, 125.9, 124.6, 116.5, 98.7, 55.4, 29.4, 24.1, 22.7, 22.6, 21.5, 21.4. HRMS (ESI-TOF) *m/z*: Calcd for C₂₇H₂₈NO₃S ([M+H]⁺): 446.1784; Found : 446.1779.



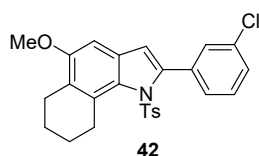
5-methoxy-2-(*p*-tolyl)-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 40 (eluent: petroleum ether/ethyl acetate 5:1), 37.4 mg, 84% yield, grey solid; mp: 167-168 °C. ¹H

NMR (400 MHz, CDCl₃) δ 7.34 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 7.9 Hz, 2H), 6.95 – 6.90 (m, 4H), 6.59 (s, 1H), 6.33 (s, 1H), 3.81 (s, 3H), 3.36 (t, J = 5.8 Hz, 2H), 2.78 (t, J = 6.7 Hz, 2H), 2.40 (s, 3H), 2.29 (s, 3H), 1.95 – 1.89 (m, 2H), 1.75 – 1.69 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.3, 146.0, 143.9, 138.2, 134.9, 133.0, 132.5, 132.3, 130.3, 128.7, 128.5, 128.2, 127.3, 124.5, 116.4, 98.6, 55.4, 29.4, 24.1, 22.7, 22.6, 21.5, 21.4. HRMS (ESI-TOF) m/z : Calcd for C₂₇H₂₈NO₃S ([M+H]⁺): 446.1784; Found : 446.1783.



2-(2-chlorophenyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 41

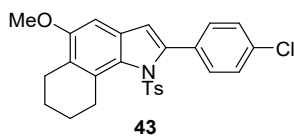
(eluent: petroleum ether/ethyl acetate 5:1), 45.1 mg, 97% yield, grey solid; mp: 161–162 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.54 (d, J = 6.9 Hz, 1H), 7.41 (d, J = 7.5 Hz, 1H), 7.32 – 7.25 (m, 2H), 7.06 (d, J = 8.1 Hz, 2H), 6.98 (d, J = 8.0 Hz, 2H), 6.73 (s, 1H), 6.65 (s, 1H), 3.81 (s, 3H), 3.36 (t, J = 5.4 Hz, 2H), 2.78 (t, J = 6.3 Hz, 2H), 2.29 (s, 3H), 1.98 – 1.85 (m, 2H), 1.81 – 1.65 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.2, 144.1, 141.0, 134.5, 133.4, 132.9, 132.4, 132.4, 131.6, 131.5, 129.9, 128.9, 128.6, 127.3, 125.9, 125.3, 119.9, 98.9, 55.4, 29.5, 24.3, 22.8, 22.5, 21.6. HRMS (ESI-TOF) m/z : Calcd for C₂₆H₂₅ClNO₃S ([M+H]⁺): 466.1238; Found : 466.1244.



2-(3-chlorophenyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 42

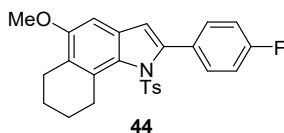
(eluent: petroleum ether/ethyl acetate 5:1), 38.6 mg, 83% yield, faint yellow solid; mp: 187–188 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.44 – 7.37 (m, 1H), 7.33 – 7.30 (m, 3H), 6.96 (d, J = 8.3 Hz, 2H), 6.92 (d, J = 8.3 Hz, 2H), 6.61 (s, 1H), 6.40 (s, 1H), 3.81 (s, 3H), 3.35 (t, J = 5.9 Hz, 2H), 2.78 (t, J = 6.7 Hz, 2H), 2.31 (s, 3H), 1.96 – 1.89 (m, 2H), 1.75 – 1.69 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.4, 144.23, 144.2, 135.2, 134.7, 133.6, 132.9, 132.5, 131.7, 128.9, 128.3, 128.2, 128.0, 127.2, 127.2, 125.3, 117.6, 98.8,

55.4, 29.4, 24.1, 22.7, 22.5, 21.5. HRMS (ESI-TOF) m/z : Calcd for $C_{26}H_{25}ClNO_3S$ ($[M+H]^+$): 466.1238; Found : 466.1241.



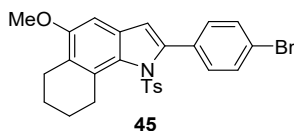
2-(4-chlorophenyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 43

(eluent: petroleum ether/ethyl acetate 5:1), 39.1 mg, 84% yield, grey solid; mp: 173-174 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, J = 8.5 Hz, 2H), 7.34 (d, J = 8.6 Hz, 2H), 6.95 (d, J = 8.2 Hz, 2H), 6.90 (d, J = 8.3 Hz, 2H), 6.60 (s, 1H), 6.37 (s, 1H), 3.81 (s, 3H), 3.35 (t, J = 5.8 Hz, 2H), 2.78 (t, J = 6.6 Hz, 2H), 2.30 (s, 3H), 1.96 – 1.89 (m, 2H), 1.74 – 1.69 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.4, 144.5, 144.1, 135.1, 134.1, 133.1, 132.4, 132.0, 131.5, 129.9, 128.3, 128.0, 127.2, 125.2, 117.3, 98.7, 55.4, 29.39 (s), 24.1, 22.7, 22.5, 21.5. HRMS (ESI-TOF) m/z : Calcd for $C_{26}H_{25}ClNO_3S$ ($[M+H]^+$): 466.1238; Found : 466.1238.

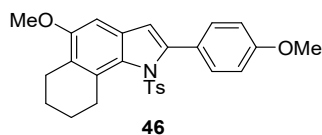


2-(4-fluorophenyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 44

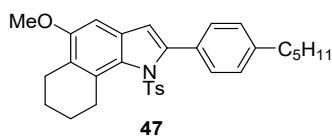
(eluent: petroleum ether/ethyl acetate 5:1), 37.4 mg, 76% yield, grey solid; mp: 183-184 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.38 (m, 2H), 7.05 (t, J = 8.7 Hz, 2H), 6.95 (d, J = 8.3 Hz, 2H), 6.89 (d, J = 8.3 Hz, 2H), 6.60 (s, 1H), 6.33 (s, 1H), 3.81 (s, 3H), 3.35 (t, J = 6.0 Hz, 2H), 2.78 (t, J = 6.7 Hz, 2H), 2.29 (s, 3H), 1.95 – 1.89 (m, 2H), 1.74 – 1.68 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.0 (d, $^1J_{C-F}$ = 248.4 Hz), 156.4, 144.6, 144.1, 134.9, 133.0, 132.5, 132.0, 130.5 (d, $^3J_{C-F}$ = 8.1 Hz), 129.2 (d, $^4J_{C-F}$ = 3.1 Hz), 128.3, 127.2, 124.9, 116.7, 114.9 (d, $^2J_{C-F}$ = 21.8 Hz), 98.7, 55.4, 29.4, 24.1, 22.7, 22.5, 21.5. HRMS (ESI-TOF) m/z : Calcd for $C_{26}H_{25}FNO_3S$ ($[M+H]^+$): 450.1534; Found : 450.1539.



2-(4-bromophenyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 45
 (eluent: petroleum ether/ethyl acetate 5:1), 44.3 mg, 87% yield; grey solid; mp: 182-183 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.49 (d, $J = 8.4$ Hz, 2H), 7.31 (d, $J = 8.4$ Hz, 2H), 6.95 (d, $J = 8.3$ Hz, 2H), 6.90 (d, $J = 8.4$ Hz, 2H), 6.60 (s, 1H), 6.38 (s, 1H), 3.80 (s, 3H), 3.34 (t, $J = 5.9$ Hz, 2H), 2.78 (t, $J = 6.7$ Hz, 2H), 2.29 (s, 3H), 1.95 – 1.89 (m, 2H), 1.74 – 1.68 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.5, 144.6, 144.2, 135.1, 133.1, 132.3, 132.0, 132.0, 131.0, 130.2, 128.4, 127.2, 125.3, 122.5, 117.5, 98.8, 55.4, 29.5, 24.2, 22.8, 22.6, 21.6. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{25}\text{BrNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 510.0733; Found : 510.0739.

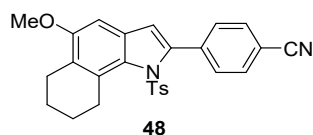


5-methoxy-2-(4-methoxyphenyl)-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 46
 (eluent: petroleum ether/ethyl acetate 5:1), 41.9 mg, 91% yield, grey solid; mp: 182-183 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.37 (d, $J = 8.7$ Hz, 2H), 6.96 – 6.90 (m, 6H), 6.61 (s, 1H), 6.29 (s, 1H), 3.87 (s, 3H), 3.82 (s, 3H), 3.37 (t, $J = 6.0$ Hz, 2H), 2.80 (t, $J = 6.7$ Hz, 2H), 2.31 (s, 3H), 1.97 – 1.91 (m, 2H), 1.76 – 1.70 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 159.8, 156.3, 145.7, 143.9, 134.7, 133.0, 132.6, 132.3, 130.1, 128.2, 127.2, 125.7, 124.3, 115.5, 113.2, 98.5, 55.3, 55.3, 29.4, 24.1, 22.7, 22.6, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{28}\text{NO}_4\text{S}$ ($[\text{M}+\text{H}]^+$): 462.1734; Found : 462.1739.

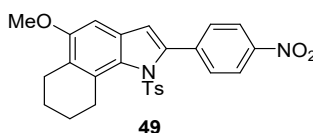


5-methoxy-2-(4-pentylphenyl)-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 47
 (eluent: petroleum ether/ethyl acetate 5:1), 39.1 mg, 78% yield; faint yellow solid; mp: 120-121 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.33 (d, $J = 8.0$ Hz, 2H), 7.17 (d, $J = 7.9$ Hz, 2H), 6.93 – 6.89 (m, 4H), 6.59 (s, 1H), 6.33 (s, 1H), 3.80 (s, 3H), 3.36 (t, $J = 5.8$ Hz, 2H), 2.78 (t, $J = 6.6$ Hz, 2H), 2.64 (t, $J = 7.6$ Hz, 2H), 2.28 (s, 3H), 1.94 – 1.91 (m,

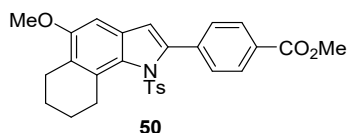
2H), 1.73 – 1.64 (m, 4H), 1.38 – 1.36 (m, 4H), 0.92 (t, $J = 6.5$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.2, 146.0, 143.8, 143.2, 134.9, 132.9, 132.8, 132.1, 130.4, 128.7, 128.2, 127.7, 127.3, 124.5, 116.1, 98.6, 55.3, 35.8, 31.6, 31.0, 29.4, 24.1, 22.7, 22.6, 22.5, 21.5, 14.0. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{31}\text{H}_{36}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 502.2410; Found : 502.2416.



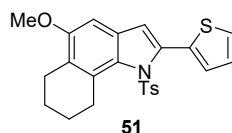
4-(5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indol-2-yl)benzonitrile 48
(eluent: petroleum ether/ethyl acetate 2:1), 41.9 mg, 80% yield, grey solid; mp: 192–193 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.67 (d, $J = 8.3$ Hz, 2H), 7.58 (d, $J = 8.3$ Hz, 2H), 6.97 (d, $J = 8.2$ Hz, 2H), 6.90 (d, $J = 8.3$ Hz, 2H), 6.62 (s, 1H), 6.52 (s, 1H), 3.82 (s, 3H), 3.35 (t, $J = 5.9$ Hz, 2H), 2.79 (t, $J = 6.7$ Hz, 2H), 2.31 (s, 3H), 1.96 – 1.90 (m, 2H), 1.75 – 1.70 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.6, 144.4, 143.7, 137.3, 135.5, 133.1, 131.9, 131.7, 131.6, 128.9, 128.4, 127.2, 126.2, 119.5, 118.9, 111.3, 99.0, 55.4, 29.3, 24.2, 22.6, 22.5, 21.6. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 457.1580; Found : 457.1586.



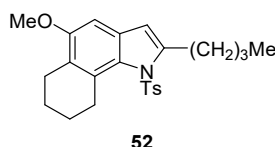
5-methoxy-2-(4-nitrophenyl)-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 49
(eluent: petroleum ether/ethyl acetate 2:1), 40.0 mg, 84% yield, white solid; mp: 300–301 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.24 (d, $J = 7.7$ Hz, 2H), 7.64 (d, $J = 7.7$ Hz, 2H), 6.98 (d, $J = 7.8$ Hz, 2H), 6.91 (d, $J = 7.8$ Hz, 2H), 6.63 (s, 1H), 6.58 (s, 1H), 3.82 (s, 3H), 3.35 (t, $J = 5.5$ Hz, 2H), 2.79 (t, $J = 6.4$ Hz, 2H), 2.31 (s, 3H), 1.99 – 1.88 (m, 2H), 1.78 – 1.66 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.6, 147.0, 144.5, 143.3, 139.1, 135.6, 133.1, 131.7, 131.7, 129.0, 128.5, 127.1, 126.4, 123.1, 120.2, 99.0, 55.4, 29.3, 24.2, 22.6, 22.4, 21.6. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{25}\text{N}_2\text{O}_5\text{S}$ ($[\text{M}+\text{H}]^+$): 477.1479; Found : 477.1484.



methyl 4-(5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indol-2-yl)benzoate **50** (eluent: petroleum ether/ethyl acetate 2:1), 41.6 mg, 85% yield, grey solid; mp: 310-311 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, *J* = 8.2 Hz, 2H), 7.53 (d, *J* = 8.2 Hz, 2H), 6.95 (d, *J* = 8.2 Hz, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 6.62 (s, 1H), 6.49 (s, 1H), 3.95 (s, 3H), 3.82 (s, 3H), 3.36 (t, *J* = 5.7 Hz, 2H), 2.79 (t, *J* = 6.6 Hz, 2H), 2.30 (s, 3H), 1.99 – 1.88 (m, 2H), 1.79 – 1.68 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 166.9, 156.4, 144.7, 144.2, 137.2, 135.4, 133.1, 132.2, 131.9, 129.4, 129.1, 128.5, 128.3, 127.2, 125.6, 118.6, 98.9, 55.4, 52.1, 29.4, 24.2, 22.7, 22.5, 21.5. HRMS (ESI-TOF) *m/z*: Calcd for C₂₈H₂₈NO₅S ([M+H]⁺): 490.1683; Found : 490.1688.

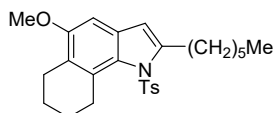


5-methoxy-2-(thiophen-2-yl)-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole **51** (eluent: petroleum ether/ethyl acetate 5:1), 41.9 mg, 86% yield, green solid; mp: 151-152 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.28 – 7.24 (m, 2H), 7.06 – 7.04 (m, 1H), 6.97 – 6.94 (m, 4H), 6.59 (s, 1H), 6.36 (s, 1H), 3.80 (s, 3H), 3.33 (t, *J* = 5.8 Hz, 2H), 2.78 (t, *J* = 6.6 Hz, 2H), 2.28 (s, 3H), 1.95 – 1.88 (m, 2H), 1.73 – 1.68 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.3, 143.9, 138.6, 134.7, 132.9, 132.7, 131.7, 128.7, 128.3, 127.2, 127.1, 125.9, 124.9, 116.2, 98.5, 55.3, 29.4, 24.0, 22.6, 22.4, 21.4. HRMS (ESI-TOF) *m/z*: Calcd for C₂₄H₂₄NO₃S₂ ([M+H]⁺): 438.1192; Found : 438.1198.



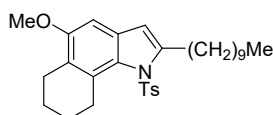
2-butyl-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole **52** (eluent: petroleum ether/ethyl acetate 10:1), 26.7 mg, 65% yield, white solid; mp: 117-118°C. ¹H NMR (400 MHz, CDCl₃) δ 7.20 (d, *J* = 8.3 Hz, 2H), 7.04 (d, *J* = 8.1 Hz, 2H), 6.55 (s, 1H), 6.13 (s, 1H), 3.80 (s, 3H), 3.24 (t, *J* = 6.0 Hz, 2H), 2.81 (t, *J* = 7.6 Hz, 2H), 2.75 (t, *J* = 6.8 Hz, 2H), 2.31 (s, 3H), 1.91 – 1.84 (m, 2H), 1.66 – 1.59 (m, 4H), 1.38 – 1.31

(m, 2H), 0.91 (t, $J = 7.3$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.9, 146.3, 143.8, 134.3, 133.8, 132.1, 131.9, 128.8, 126.3, 123.6, 115.1, 98.2, 55.3, 31.3, 30.2, 29.8, 24.1, 22.8, 22.5, 22.4, 21.5, 13.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{24}\text{H}_{30}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 412.1941; Found : 412.1927.



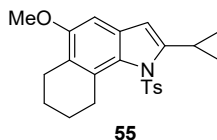
53

2-hexyl-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 53 (eluent: petroleum ether/ethyl acetate 10:1), 22.4 mg, 51% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.19 (d, $J = 8.2$ Hz, 2H), 7.03 (d, $J = 8.1$ Hz, 2H), 6.55 (s, 1H), 6.13 (s, 1H), 3.80 (s, 3H), 3.24 (t, $J = 5.9$ Hz, 2H), 2.80 (t, $J = 7.6$ Hz, 2H), 2.75 (t, $J = 6.7$ Hz, 2H), 2.31 (s, 3H), 1.91 – 1.84 (m, 2H), 1.67 – 1.58 (m, 4H), 1.34 – 1.26 (m, 6H), 0.87 (t, $J = 6.5$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.9, 146.3, 143.8, 134.3, 133.9, 132.1, 131.9, 128.8, 126.3, 123.6, 115.0, 98.2, 55.3, 31.6, 30.5, 29.8, 29.2, 29.0, 24.1, 22.8, 22.5, 22.5, 21.5, 14.1. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{34}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 440.2254; Found : 440.2255.

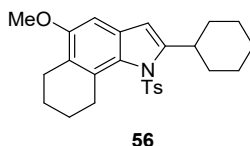


54

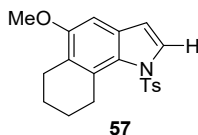
2-decyl-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 54 (eluent: petroleum ether/ethyl acetate 10:1), 20.8 mg, 42% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.19 (d, $J = 8.2$ Hz, 2H), 7.03 (d, $J = 8.1$ Hz, 2H), 6.55 (s, 1H), 6.13 (s, 1H), 3.80 (s, 3H), 3.24 (t, $J = 5.9$ Hz, 2H), 2.81 (d, $J = 7.5$ Hz, 2H), 2.75 (t, $J = 6.7$ Hz, 2H), 2.30 (s, 3H), 1.90 – 1.84 (m, 2H), 1.67 – 1.59 (m, 4H), 1.34 – 1.25 (m, 14H), 0.88 (t, $J = 6.8$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.9, 146.3, 143.8, 134.3, 133.9, 132.1, 131.9, 128.8, 126.3, 123.6, 115.1, 98.2, 55.3, 31.9, 30.5, 29.7, 29.6, 29.5, 29.4, 29.3, 29.2, 29.1, 24.1, 22.8, 22.7, 22.5, 21.5, 14.1. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{30}\text{H}_{42}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 496.2880; Found : 496.2885.



2-cyclopropyl-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 55 (eluent: petroleum ether/ethyl acetate 10:1), 34.4 mg, 87% yield, white solid; mp: 122-123 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.30 (d, $J = 8.3$ Hz, 2H), 7.07 (d, $J = 8.0$ Hz, 2H), 6.53 (s, 1H), 5.89 (d, $J = 0.7$ Hz, 1H), 3.79 (s, 3H), 3.22 (t, $J = 6.0$ Hz, 2H), 2.74 (t, $J = 6.8$ Hz, 2H), 2.40 – 2.35 (m, 1H), 2.32 (s, 3H), 1.90 – 1.83 (m, 2H), 1.66 -1.60 (m, 2H), 0.98 - 0.93 (m, 2H), 0.52 – 0.48 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.9, 148.5, 143.8, 134.4, 133.9, 131.7, 131.5, 128.7, 126.6, 123.6, 110.7, 98.2, 55.3, 29.8, 24.1, 22.8, 22.5, 21.5, 10.6, 9.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{23}\text{H}_{26}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 396.1628; Found : 396.1633.

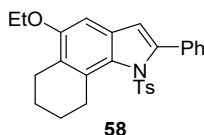


2-cyclohexyl-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 56 (eluent: petroleum ether/ethyl acetate 10:1), 24.9 mg, 57% yield, white solid; mp: 139-140 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.18 (d, $J = 8.3$ Hz, 2H), 7.05 (d, $J = 8.1$ Hz, 2H), 6.59 (s, 1H), 6.11 (d, $J = 1.0$ Hz, 1H), 3.83 (s, 3H), 3.28 (t, $J = 6.0$ Hz, 2H), 3.16 – 3.10 (m, 1H), 2.78 (t, $J = 6.8$ Hz, 2H), 2.33 (s, 3H), 2.02 – 1.99 (m, 2H), 1.94 – 1.88 (m, 2H), 1.82 – 1.74 (m, 3H), 1.71 – 1.65 (m, 2H), 1.51 – 1.42 (m, 2H), 1.23 – 1.10 (m, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 151.8, 143.7, 134.6, 133.7, 132.5, 132.2, 128.7, 126.3, 123.6, 113.1, 98.4, 55.3, 38.4, 33.4, 29.7, 26.4, 26.3, 24.1, 22.8, 22.5, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{32}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 438.2097; Found : 438.2100.

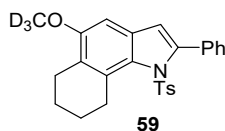


5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 57 (eluent: petroleum ether/ethyl acetate 10:1), 11.0 mg, 31% yield, white solid; mp: 128-129 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.62 (d, $J = 3.7$ Hz, 1H), 7.50 (d, $J = 8.4$ Hz, 2H), 7.17 (d, $J = 8.1$

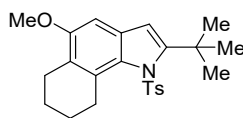
Hz, 2H), 6.75 (s, 1H), 6.56 (d, $J = 3.7$ Hz, 1H), 3.80 (s, 3H), 3.05 (t, $J = 6.1$ Hz, 2H), 2.68 (t, $J = 6.5$ Hz, 2H), 2.35 (s, 3H), 1.77 – 1.71 (m, 2H), 1.66 – 1.61 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.9, 144.2, 136.5, 130.8, 130.4, 130.3, 129.6, 127.2, 126.4, 124.4, 110.0, 98.6, 55.3, 28.3, 24.3, 22.6, 22.1, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{20}\text{H}_{22}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 356.1315; Found : 356.1320.



5-ethoxy-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 58 (eluent: petroleum ether/ethyl acetate 10:1), 23.2 mg, 52% yield, white solid; mp: 150-151 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.45 – 7.43 (m, 2H), 7.39 – 7.34 (m, 3H), 6.95 – 6.90 (m, 4H), 6.59 (s, 1H), 6.36 (s, 1H), 4.00 (q, $J = 6.9$ Hz, 2H), 3.36 (t, $J = 6.0$ Hz, 2H), 2.80 (t, $J = 6.7$ Hz, 2H), 2.29 (s, 3H), 1.94 – 1.90 (m, 2H), 1.75 – 1.70 (m, 2H), 1.43 (t, $J = 6.9$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.6, 145.8, 143.9, 134.9, 133.1, 133.0, 132.6, 132.0, 128.8, 128.2, 128.1, 127.7, 127.3, 125.0, 117.0, 99.6, 63.5, 29.4, 24.2, 22.8, 22.6, 21.5, 14.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{28}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 446.1784; Found : 446.1790.



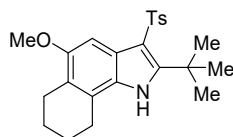
5-(methoxy- d_3)-2-phenyl-1-tosyl-6,7,8,9-tetrahydro-1H-benzo[g]indole 59 (eluent: petroleum ether/ethyl acetate 10:1), 35.6 mg, 82% yield, white solid; mp: 142-143 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.45 – 7.41 (m, 2H), 7.39 – 7.32 (m, 3H), 6.95 – 6.90 (m, 4H), 6.61 (s, 1H), 6.38 (s, 1H), 3.36 (t, $J = 5.9$ Hz, 2H), 2.79 (t, $J = 6.7$ Hz, 2H), 2.30 (s, 3H), 1.96 – 1.90 (m, 2H), 1.75 – 1.70 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.3, 145.8, 143.9, 135.0, 133.0, 133.0, 132.6, 132.0, 128.8, 128.2, 128.2, 127.7, 127.3, 124.8, 116.9, 98.7, 29.4, 24.1, 22.7, 22.6, 21.5. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{26}\text{H}_{23}\text{D}_3\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 435.1816; Found : 435.1805.



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2-(*tert*-butyl)-5-methoxy-1-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole I-22

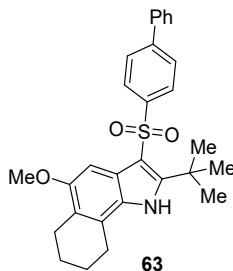
(eluent: petroleum ether/ethyl acetate 10:1), 33.3 mg, 81% yield, white solid; mp: 147-148 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.11 (d, *J* = 8.1 Hz, 2H), 6.94 (d, *J* = 8.1 Hz, 2H), 6.43 (s, 1H), 6.35 (s, 1H), 3.75 (s, 3H), 3.24 (t, *J* = 5.9 Hz, 2H), 2.72 (t, *J* = 6.7 Hz, 2H), 2.27 (s, 3H), 1.91 – 1.84 (m, 2H), 1.68 – 1.62 (m, 2H), 1.49 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 156.8, 156.2, 143.5, 136.3, 132.7, 131.8, 129.2, 128.0, 127.6, 123.8, 119.8, 98.7, 55.4, 35.8, 32.1, 29.2, 23.9, 22.7, 22.6, 21.5. HRMS (ESI-TOF) *m/z*: Calcd for C₂₄H₃₀NO₃S ([M+H]⁺): 412.1941; Found : 412.1942.



62

2-(*tert*-butyl)-5-methoxy-3-tosyl-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 62

(eluent: petroleum ether/ethyl acetate 2:1), 26.7 mg, 65% yield, yellow solid; mp: 193-194 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.25 (s, 1H), 7.79 (d, *J* = 8.0 Hz, 2H), 7.34 (s, 1H), 7.17 (d, *J* = 8.0 Hz, 2H), 3.83 (s, 3H), 2.79 (t, *J* = 5.3 Hz, 2H), 2.70 (t, *J* = 5.4 Hz, 2H), 2.34 (s, 3H), 1.82 – 1.78 (m, 4H), 1.60 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 154.1, 149.8, 142.5, 142.4, 129.2, 126.1, 126.0, 124.8, 122.8, 120.3, 110.1, 97.8, 55.6, 34.2, 30.0, 24.1, 23.2, 22.6, 21.9, 21.4. HRMS (ESI-TOF) *m/z*: Calcd for C₂₄H₃₀NO₃S ([M+H]⁺): 412.1941; Found : 412.1946.

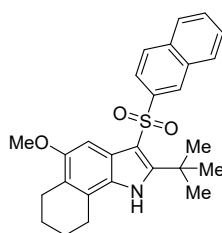


63

3-([1,1'-biphenyl]-4-ylsulfonyl)-2-(*tert*-butyl)-5-methoxy-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole 63

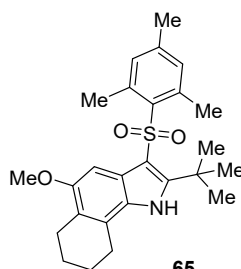
(eluent: petroleum ether/ethyl acetate 10:1), 27.9 mg, 59% yield,

yellow solid; mp: 169-170 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.30 (s, 1H), 7.97 (d, $J = 8.4$ Hz, 2H), 7.59 (d, $J = 8.4$ Hz, 2H), 7.53 - 7.51 (m, 2H), 7.44 - 7.34 (m, 4H), 3.85 (s, 3H), 2.80 (t, $J = 5.5$ Hz, 2H), 2.70 (t, $J = 5.6$ Hz, 2H), 1.91 - 1.78 (m, 4H), 1.62 (s, 9H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.2, 150.0, 144.8, 143.9, 139.5, 128.9, 128.2, 127.3, 127.2, 126.4, 126.1, 124.9, 122.9, 120.4, 109.9, 97.8, 55.7, 34.2, 30.1, 24.1, 23.2, 22.6, 21.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{29}\text{H}_{32}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 474.2097; Found : 474.2099.



64

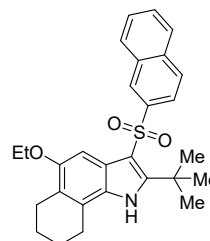
2-(*tert*-butyl)-5-methoxy-3-(naphthalen-1-ylsulfonyl)-6,7,8,9-tetrahydro-1H-benzo[*g*]indole 64 (eluent: petroleum ether/ethyl acetate 10:1), 38.9 mg, 87% yield, yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 8.52 (d, $J = 0.8$ Hz, 1H), 8.30 (s, 1H), 7.90 - 7.84 (m, 2H), 7.82 - 7.78 (m, 2H), 7.58 - 7.50 (m, 2H), 7.40 (s, 1H), 3.81 (s, 3H), 2.79 (t, $J = 5.6$ Hz, 2H), 2.68 (t, $J = 5.6$ Hz, 2H), 1.90 - 1.78 (m, 4H), 1.62 (s, 9H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.1, 150.1, 142.1, 134.5, 132.0, 129.2, 129.0, 128.3, 127.8, 127.2, 126.6, 126.1, 124.9, 122.9, 121.9, 120.4, 109.8, 97.7, 55.6, 34.2, 30.1, 24.1, 23.2, 22.6, 21.9. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{27}\text{H}_{30}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 448.1941; Found : 448.1946.



65

2-(*tert*-butyl)-3-(mesitylsulfonyl)-5-methoxy-6,7,8,9-tetrahydro-1H-benzo[*g*]indole 65 (eluent: petroleum ether/ethyl acetate 10:1), 29.9 mg, 68% yield, white solid; mp: 190-191 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.15 (s, 1H), 6.93 (s, 2H), 6.12 (s, 1H), 3.45 (s, 3H), 2.77 (t, $J = 5.8$ Hz, 2H), 2.61 (t, $J = 5.9$ Hz, 2H), 2.57 (s,

6H), 2.28 (s, 3H), 1.86 – 1.78 (m, 4H), 1.64 (s, 9H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.3, 147.8, 142.2, 139.6, 137.8, 132.0, 125.9, 122.7, 122.1, 120.1, 114.4, 96.1, 54.9, 34.2, 29.7, 24.1, 23.1, 22.6, 22.5, 21.9, 20.9. HRMS (ESI-TOF) m/z : Calcd for

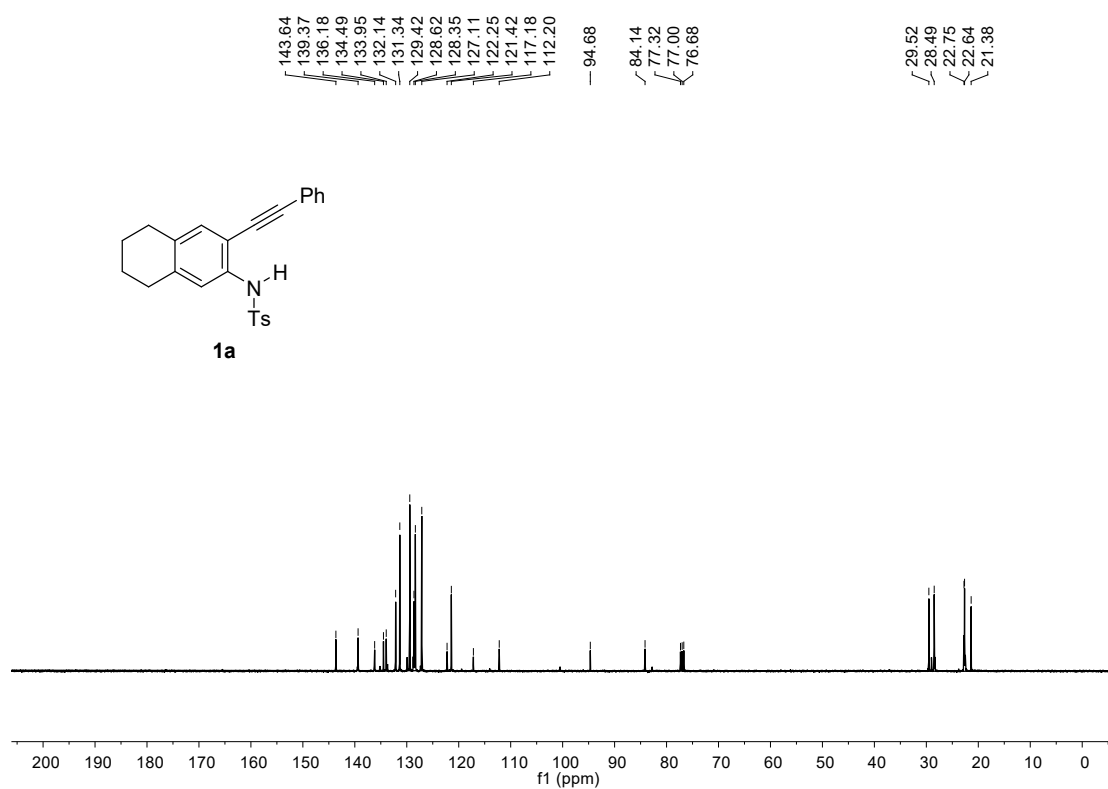
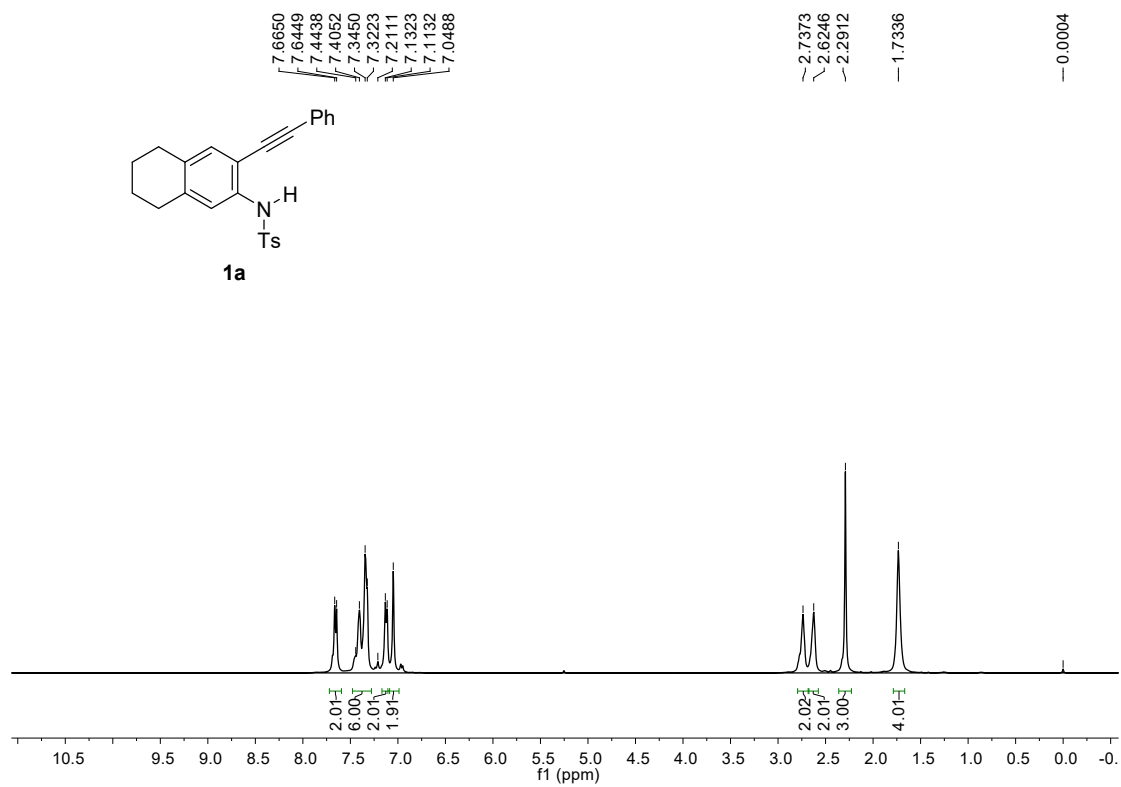


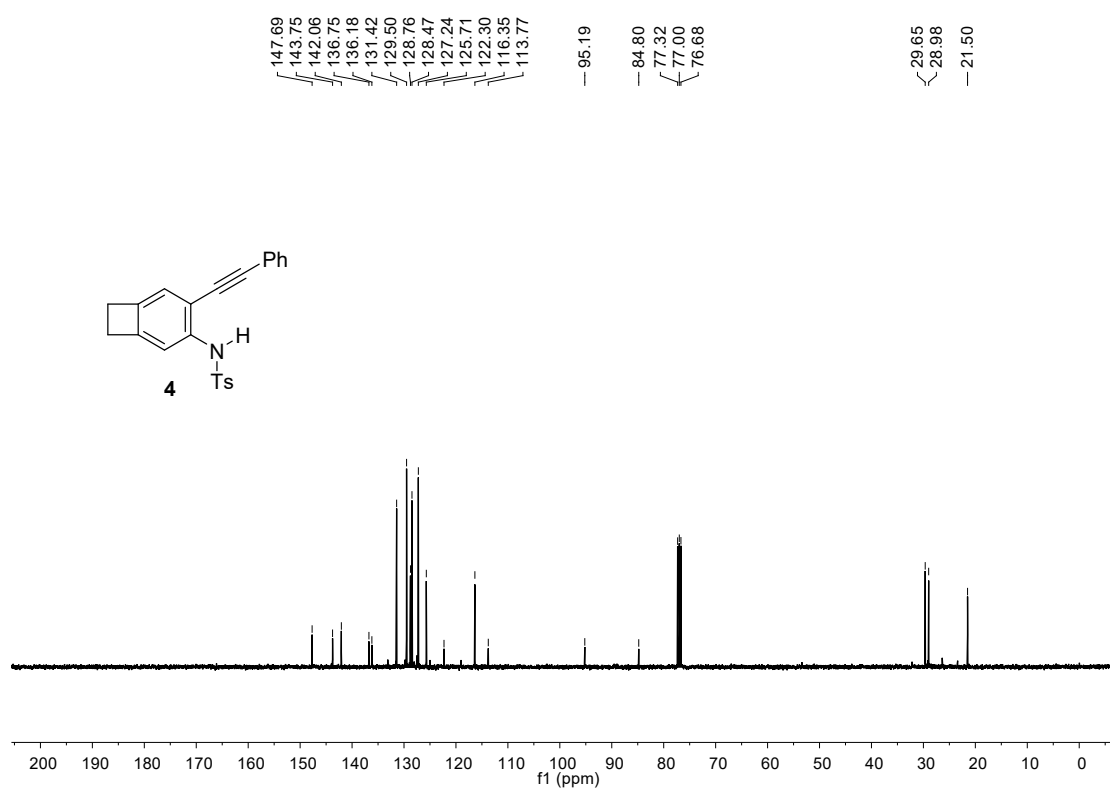
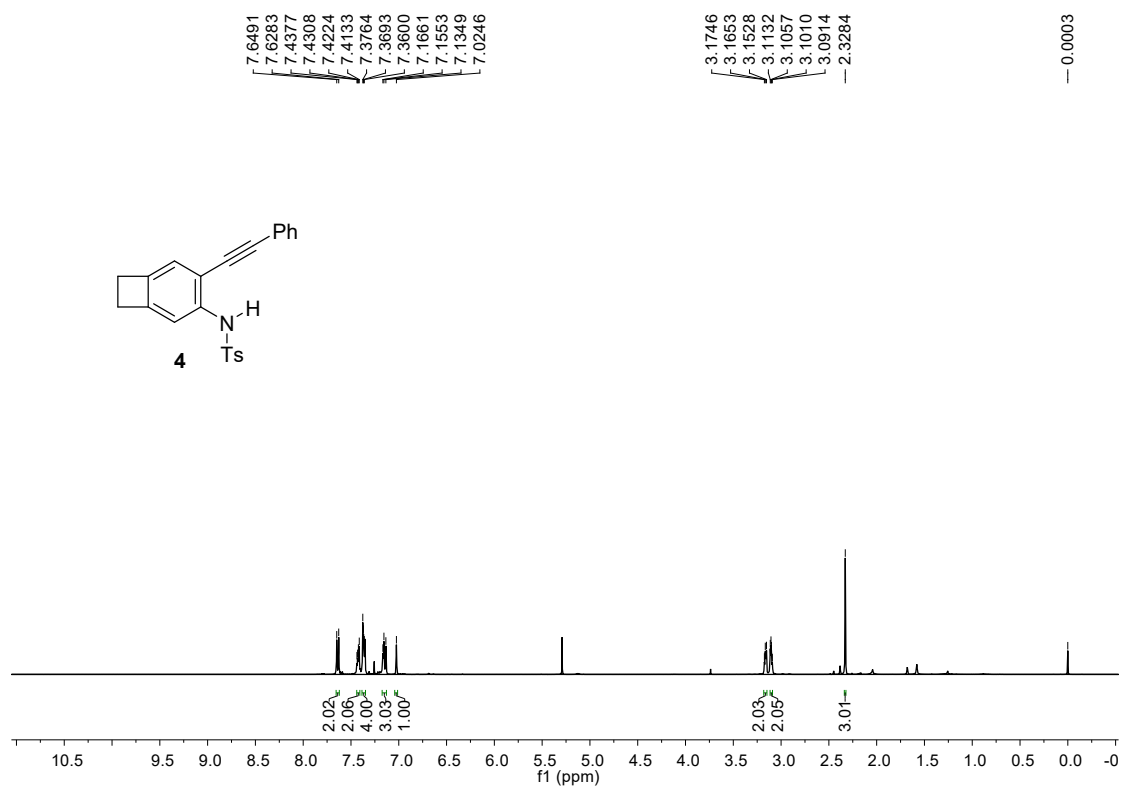
$\text{C}_{26}\text{H}_{34}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 440.2254; Found : 440.2255.

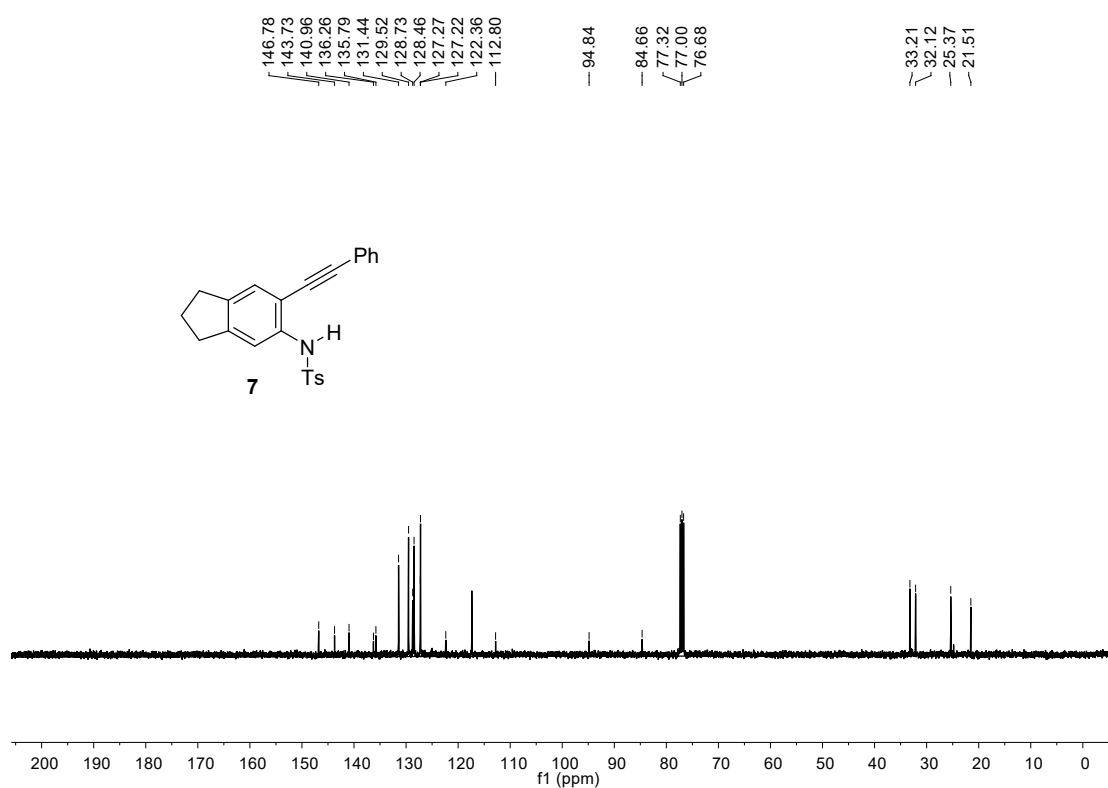
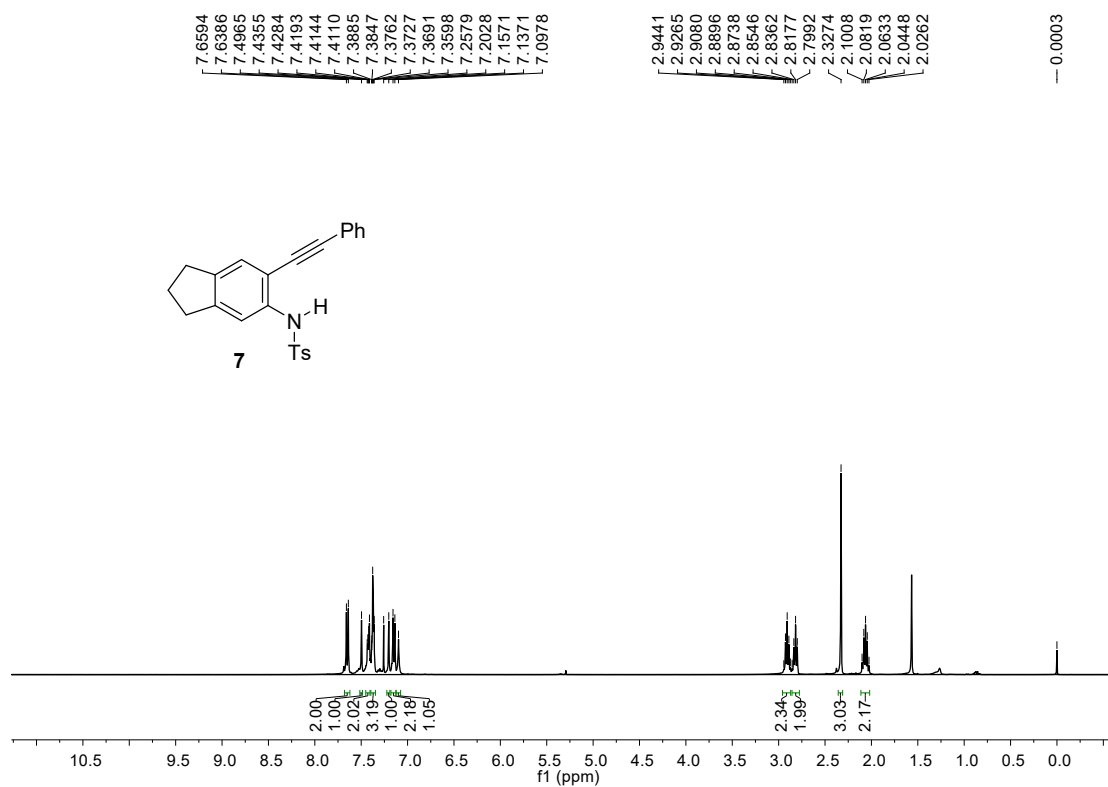
67

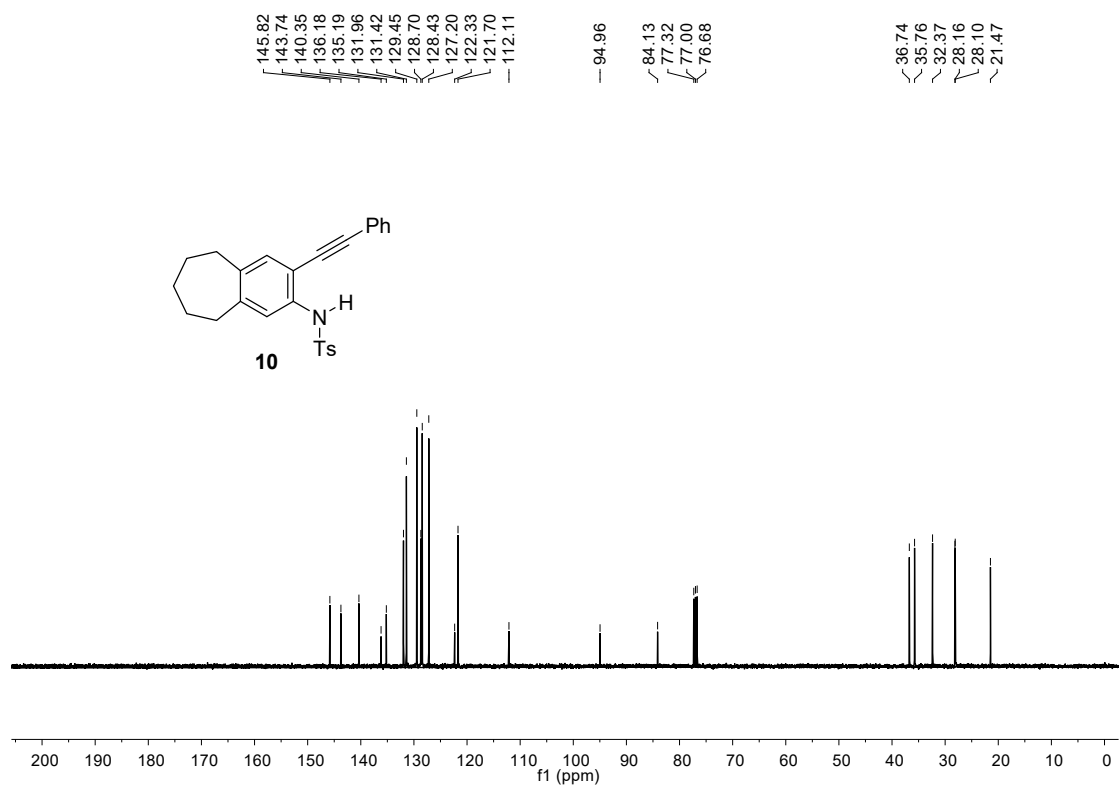
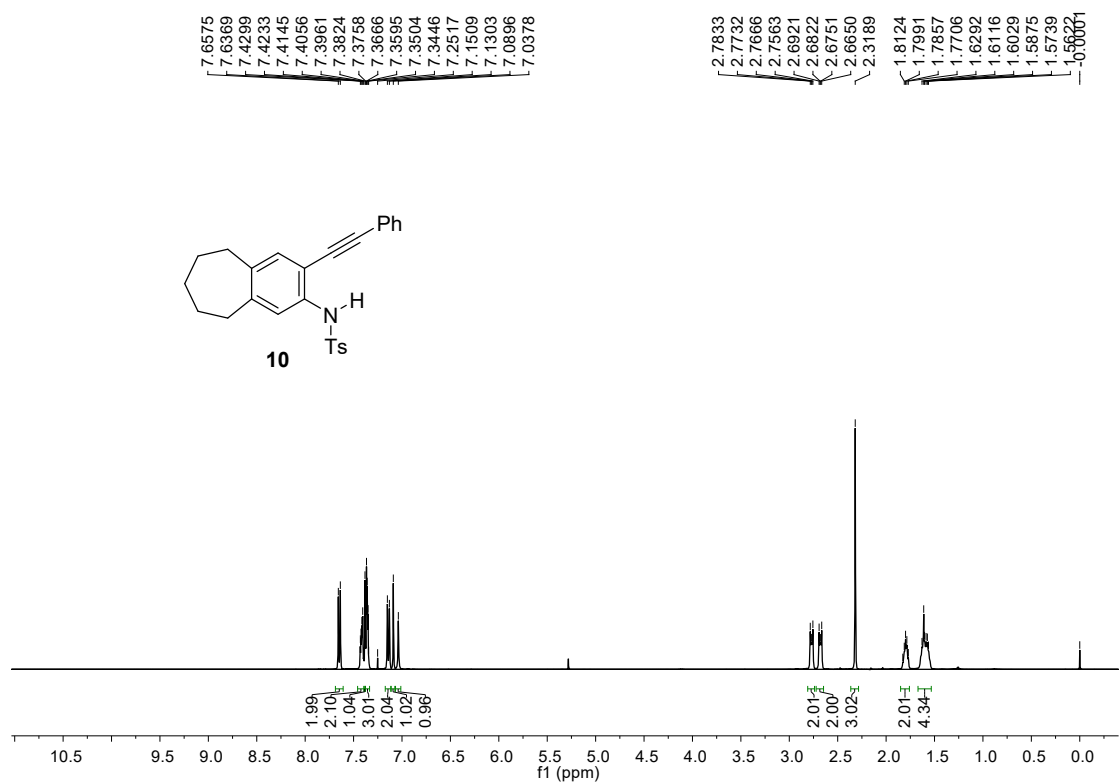
2-(*tert*-butyl)-5-ethoxy-3-(naphthalen-2-ylsulfonyl)-6,7,8,9-tetrahydro-1*H*-benzo[*g*]indole **67** (eluent: petroleum ether/ethyl acetate 10:1), 22.1 mg, 48% yield, colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.51 (d, $J = 1.8$ Hz, 1H), 8.28 (s, 1H), 7.91 – 7.83 (m, 2H), 7.82 – 7.77 (m, 2H), 7.58 – 7.50 (m, 2H), 7.39 (s, 1H), 4.02 (q, $J = 6.9$ Hz, 2H), 2.79 (t, $J = 5.8$ Hz, 2H), 2.70 (t, $J = 5.8$ Hz, 2H), 1.88 – 1.78 (m, 5H), 1.62 (s, 9H), 1.37 (t, $J = 6.9$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.4, 150.0, 142.1, 134.5, 132.0, 129.2, 128.9, 128.3, 127.7, 127.1, 126.6, 126.1, 124.9, 123.1, 121.9, 120.3, 109.7, 98.8, 63.8, 34.2, 30.1, 24.1, 23.3, 22.6, 22.0, 14.8. HRMS (ESI-TOF) m/z : Calcd for $\text{C}_{28}\text{H}_{32}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 462.2097; Found : 462.2098.

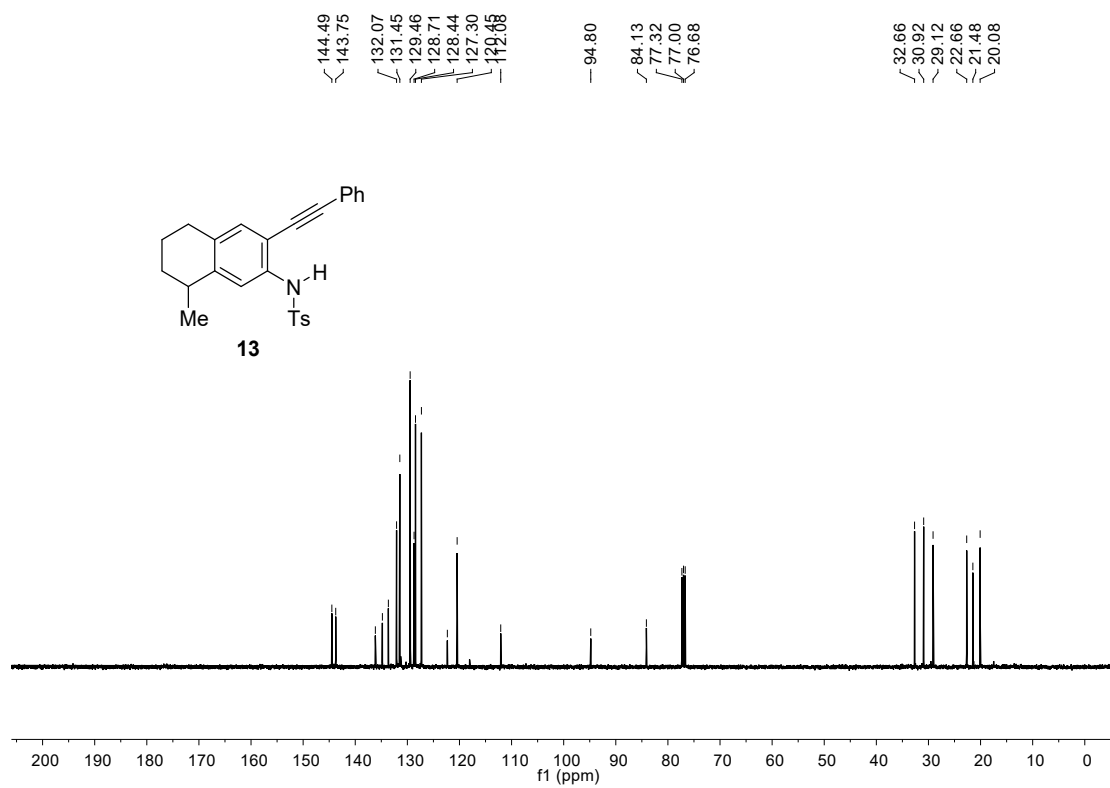
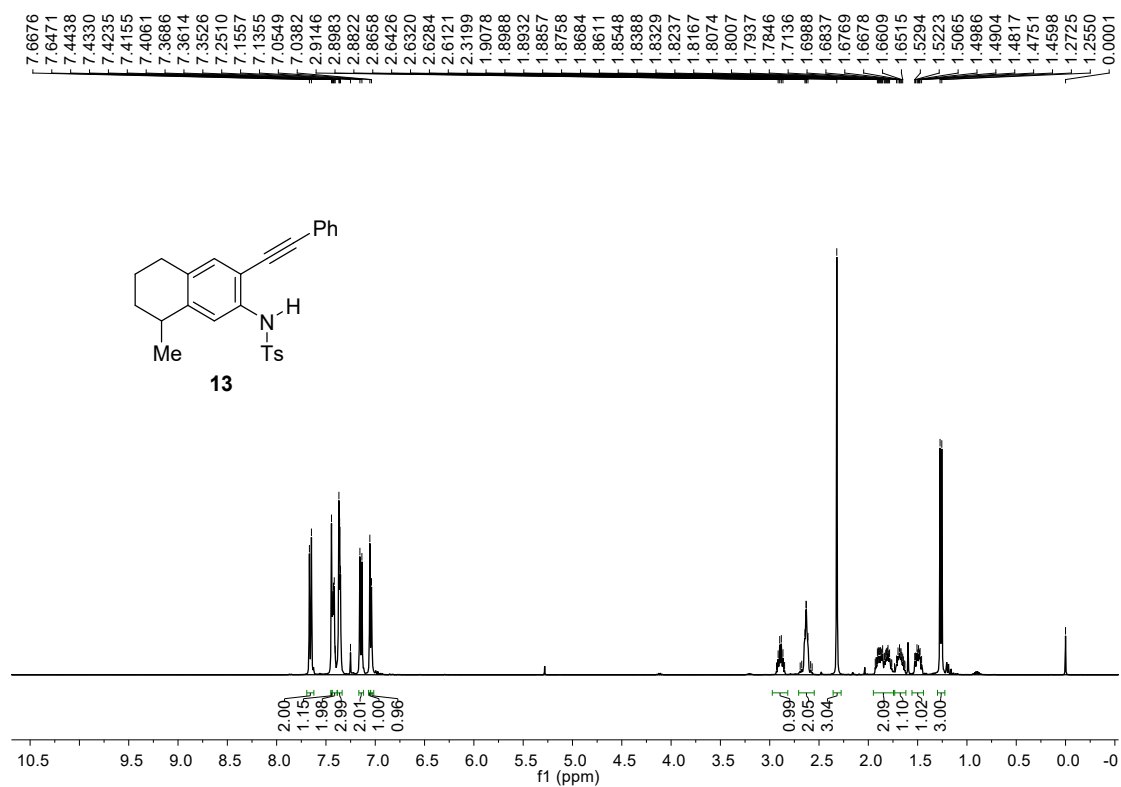
3. Spectra of ^1H NMR and ^{13}C NMR

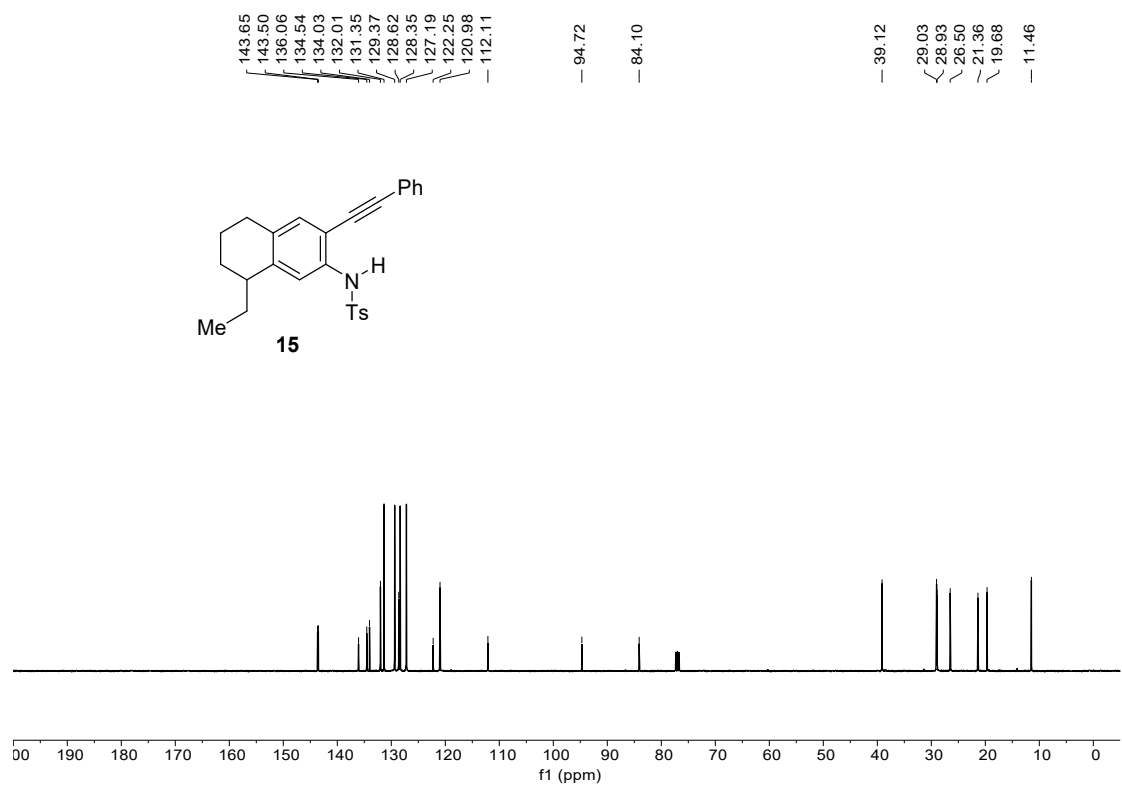
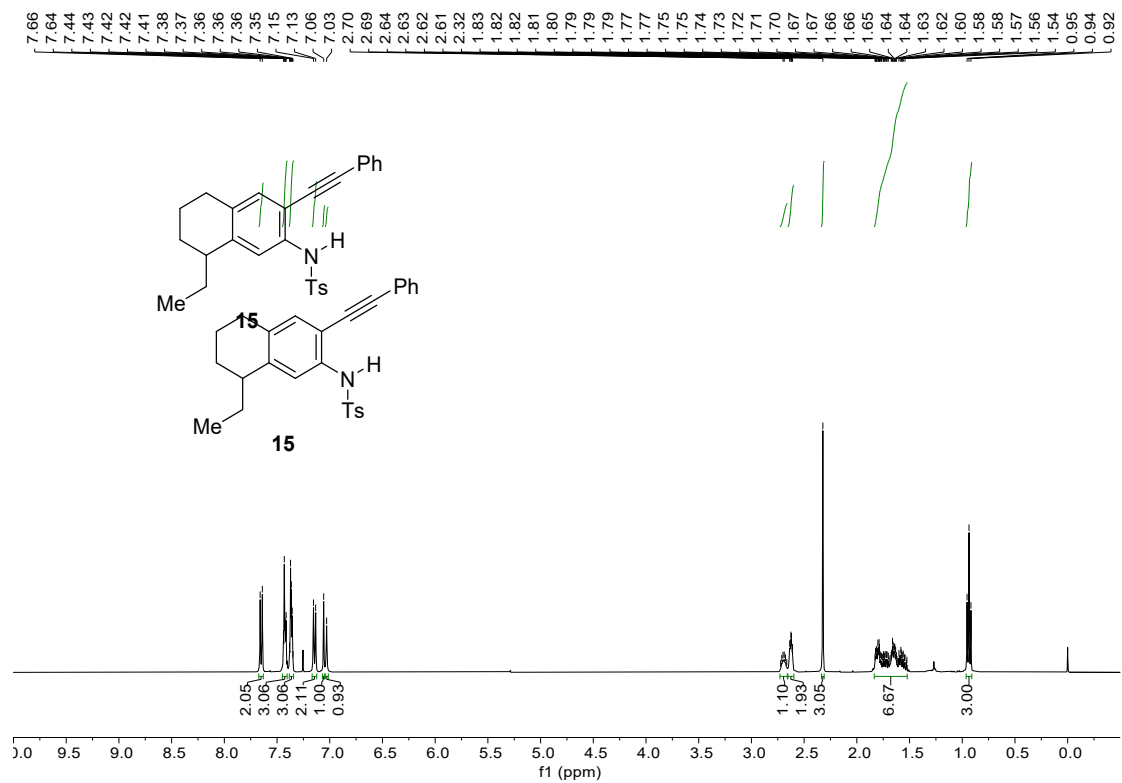


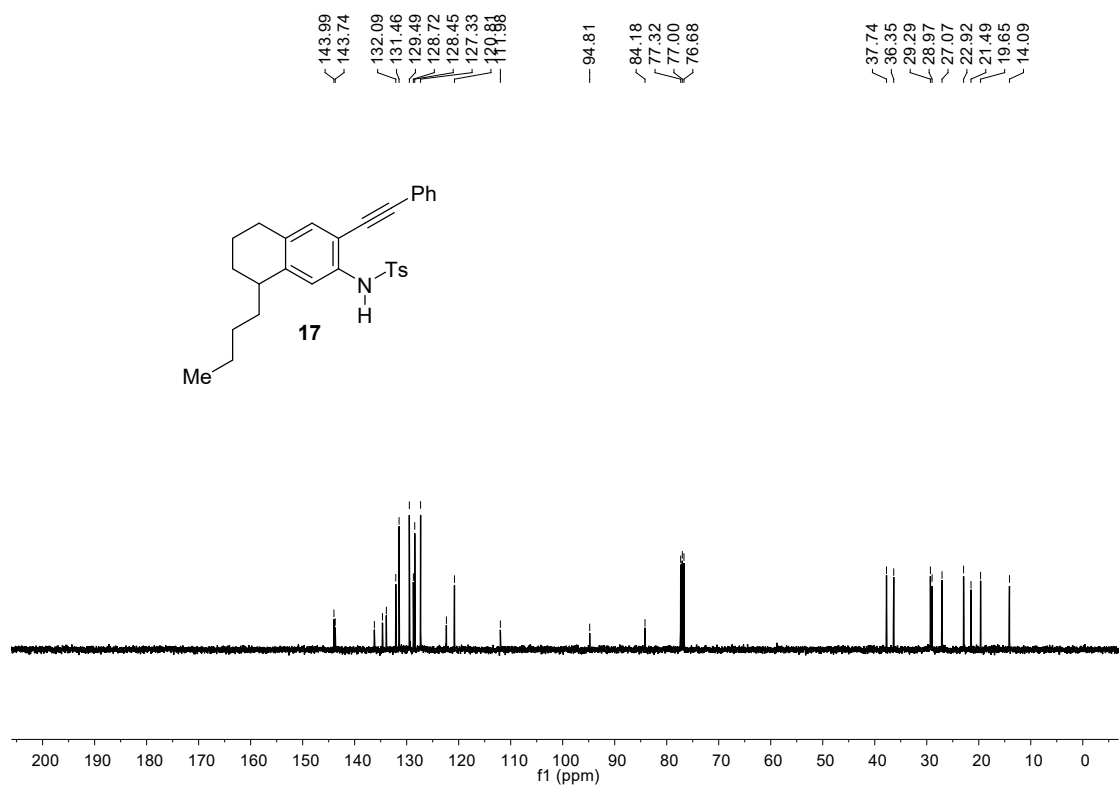
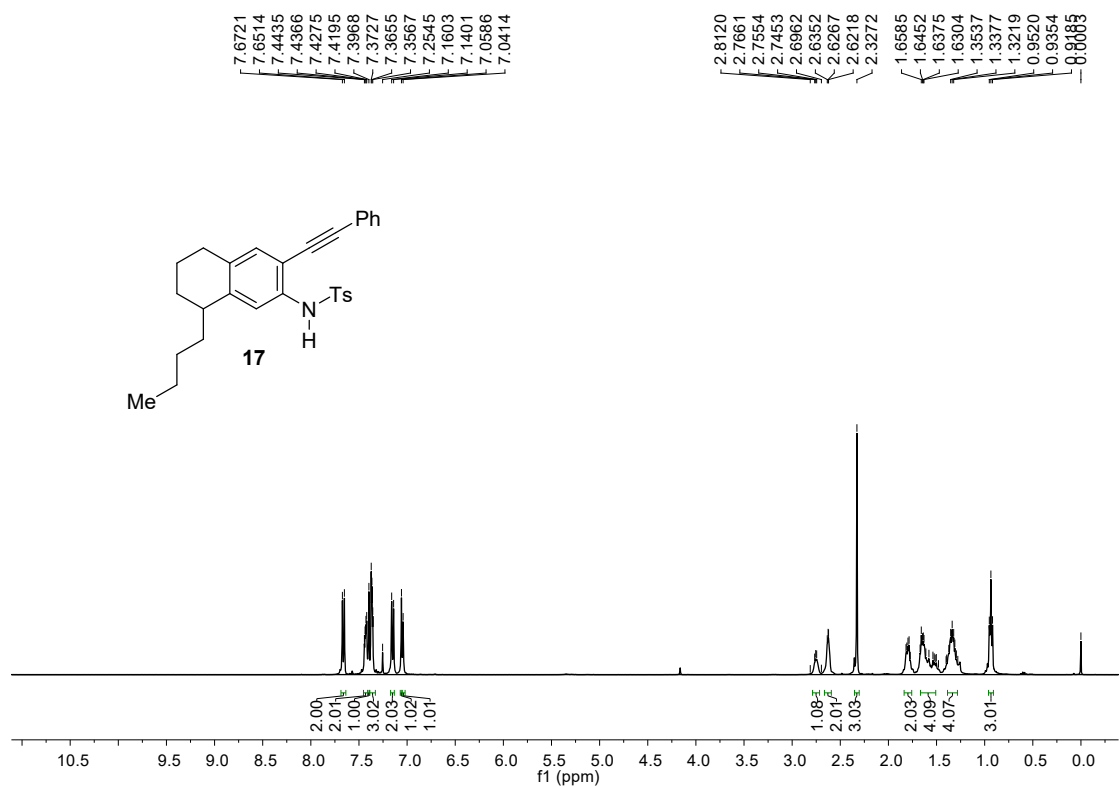


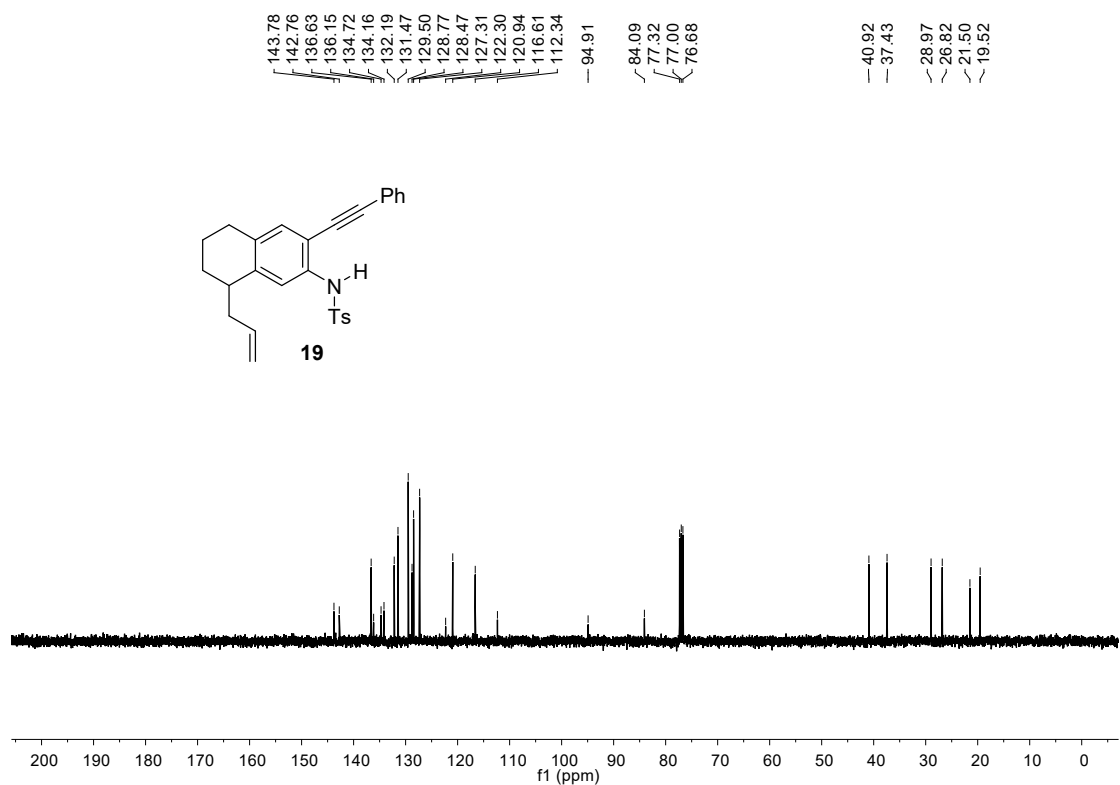
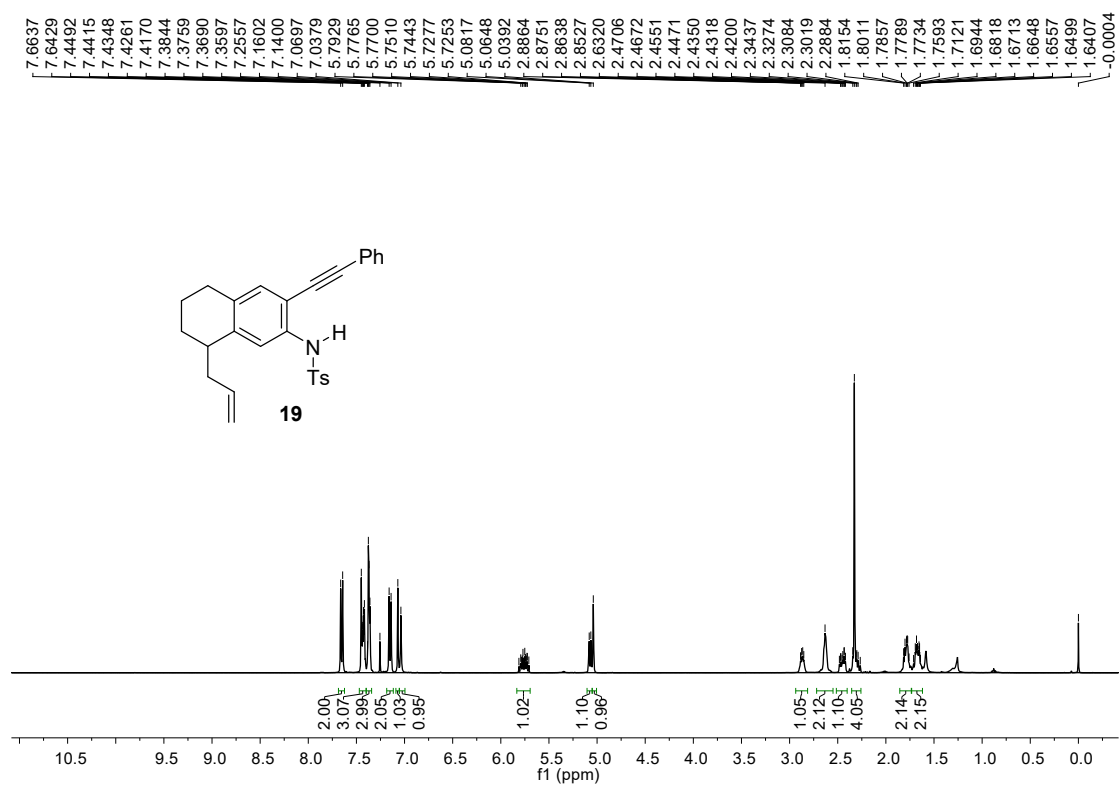


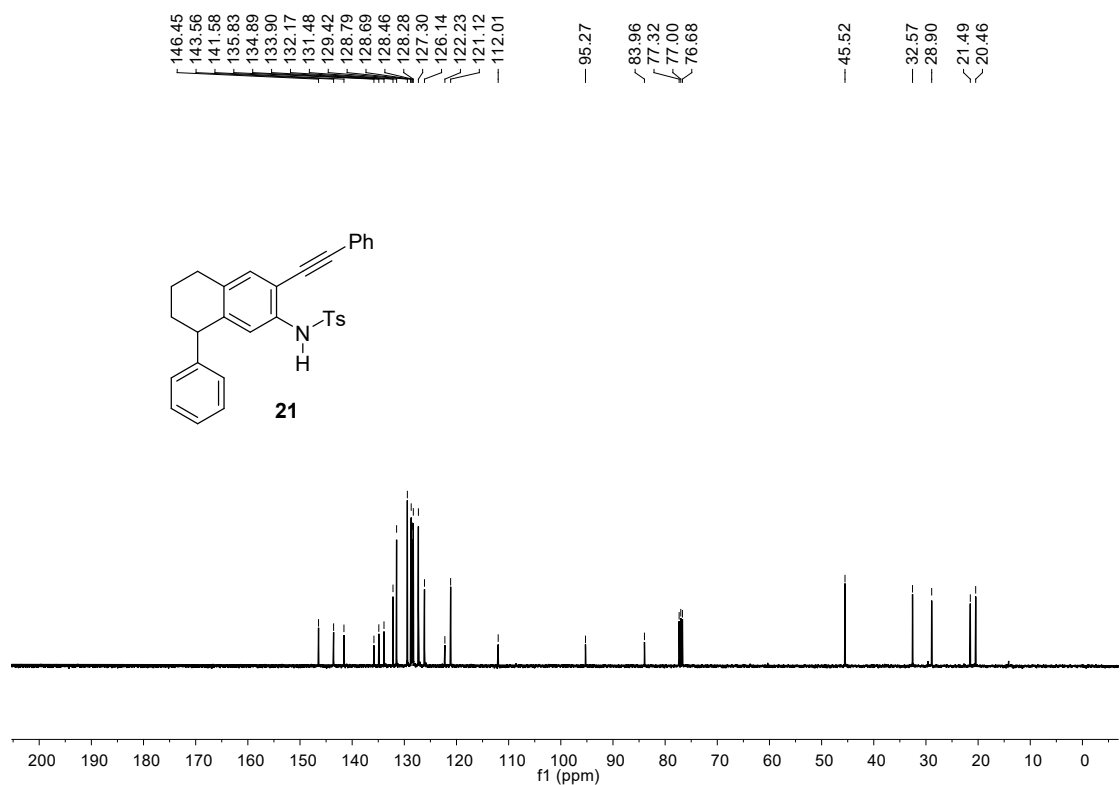
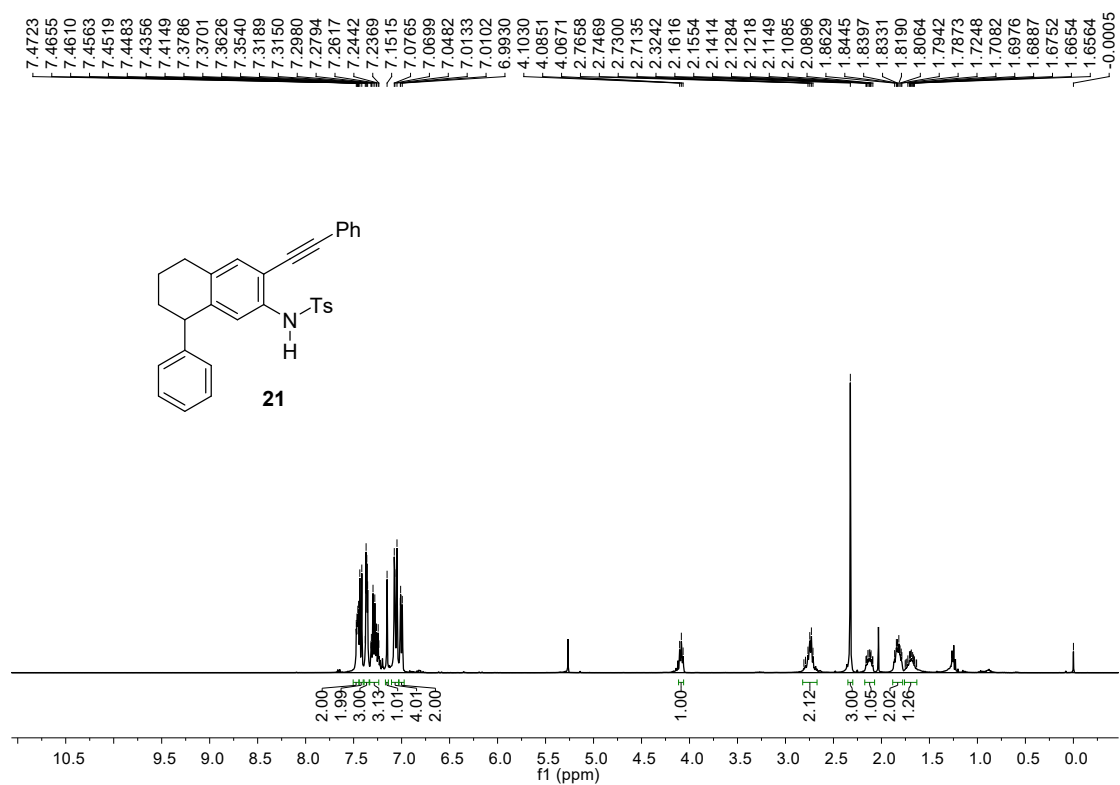


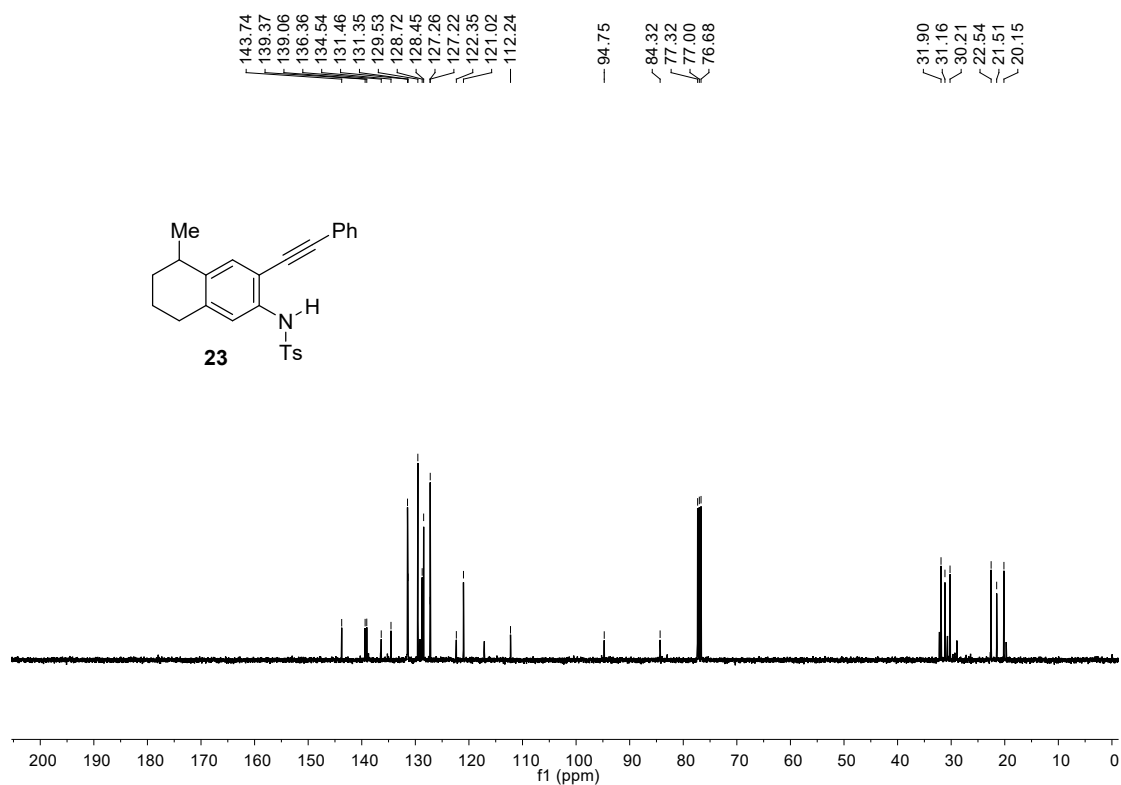
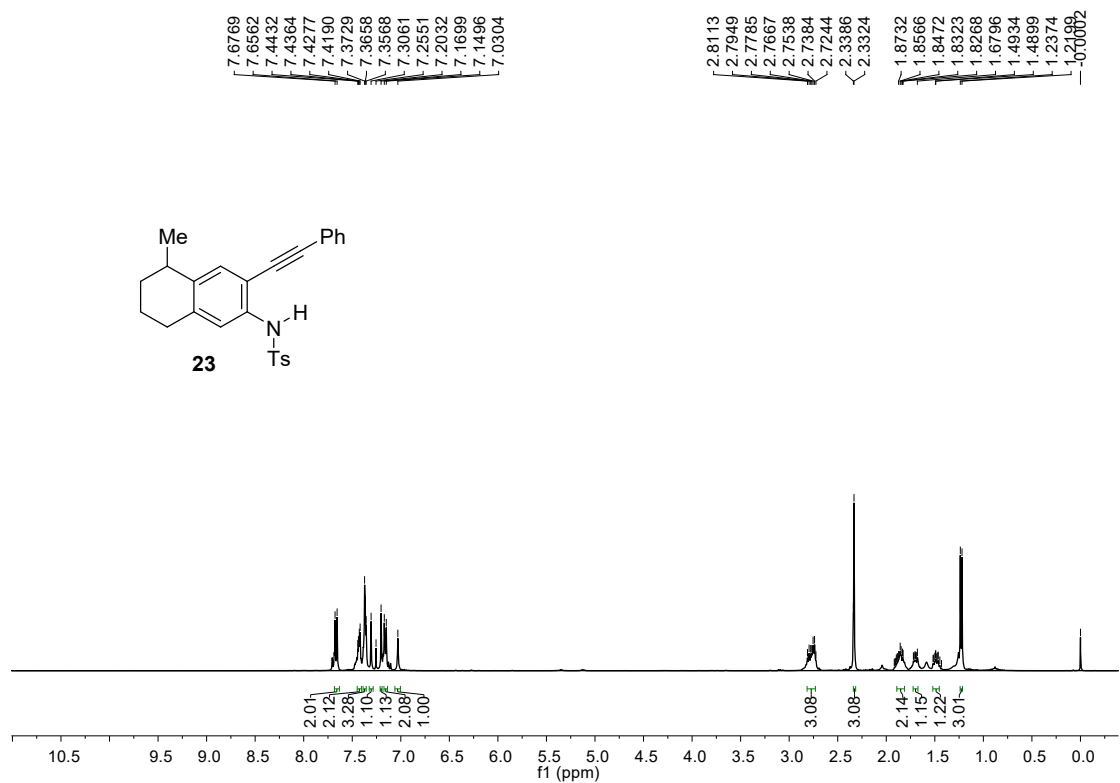


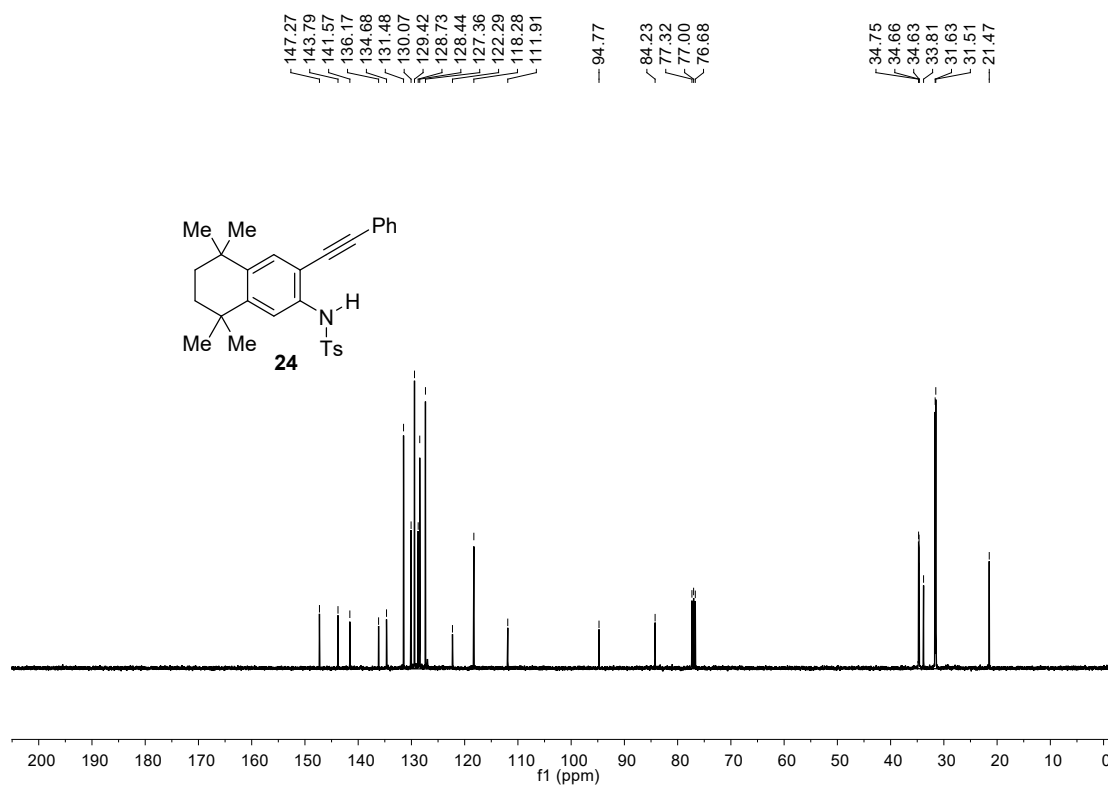
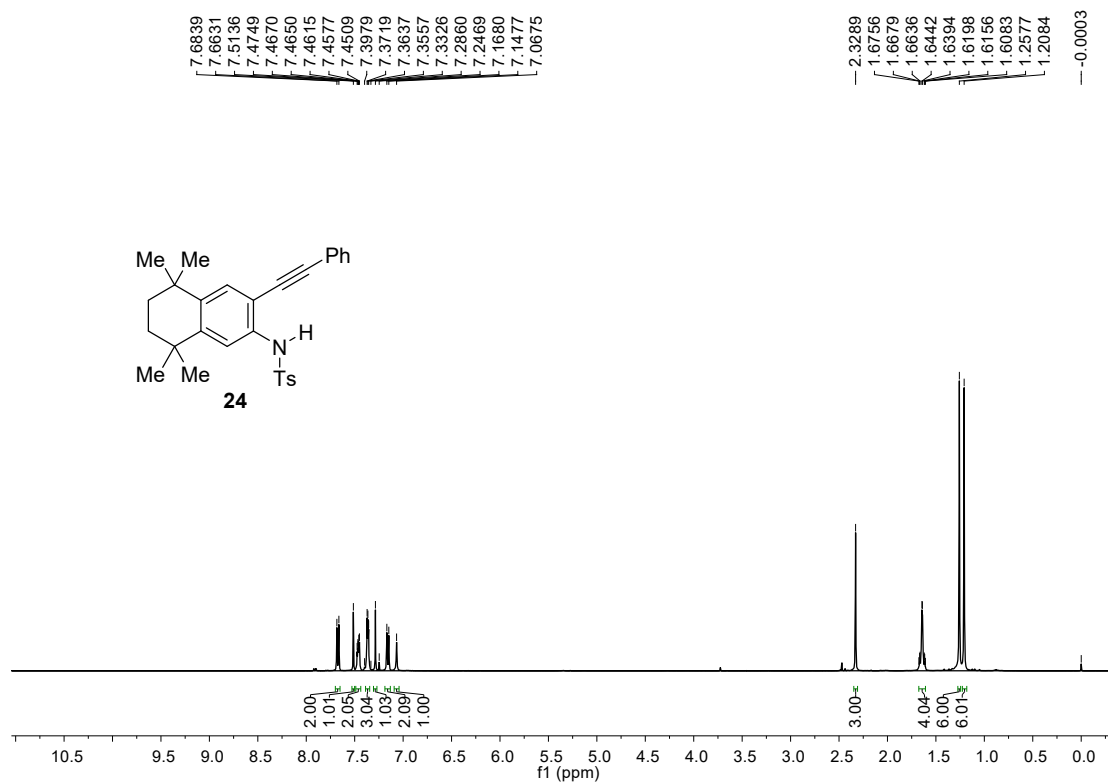


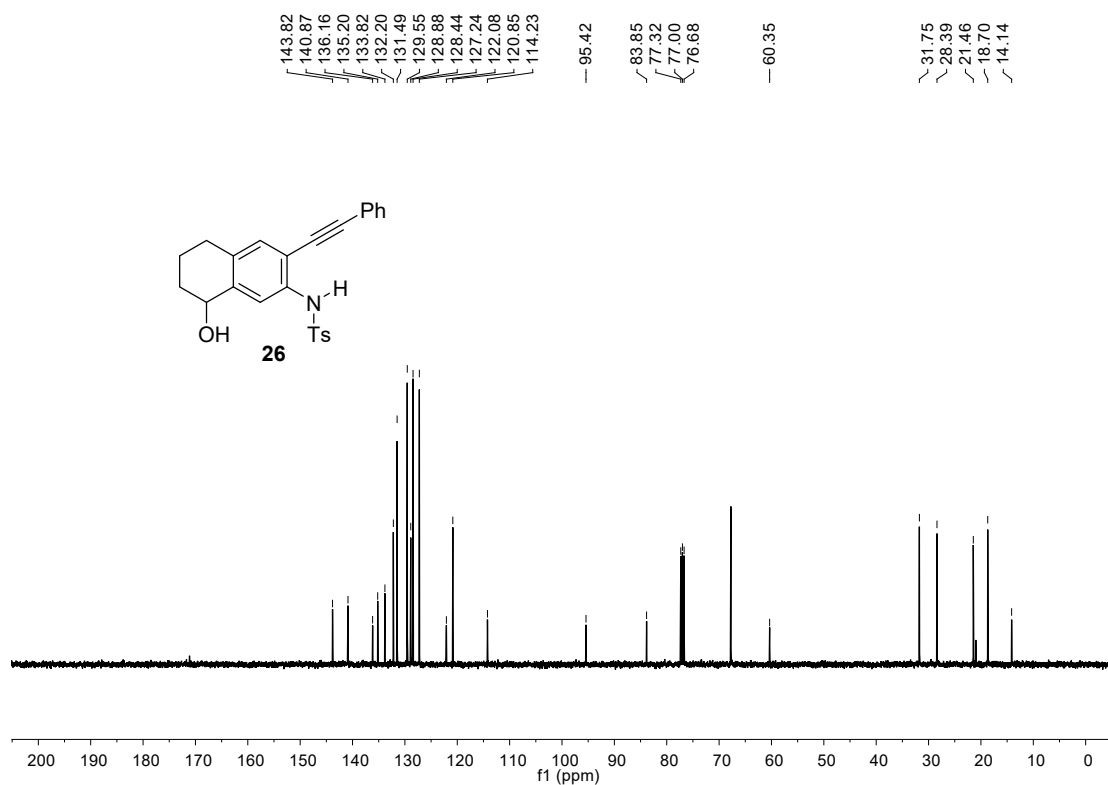
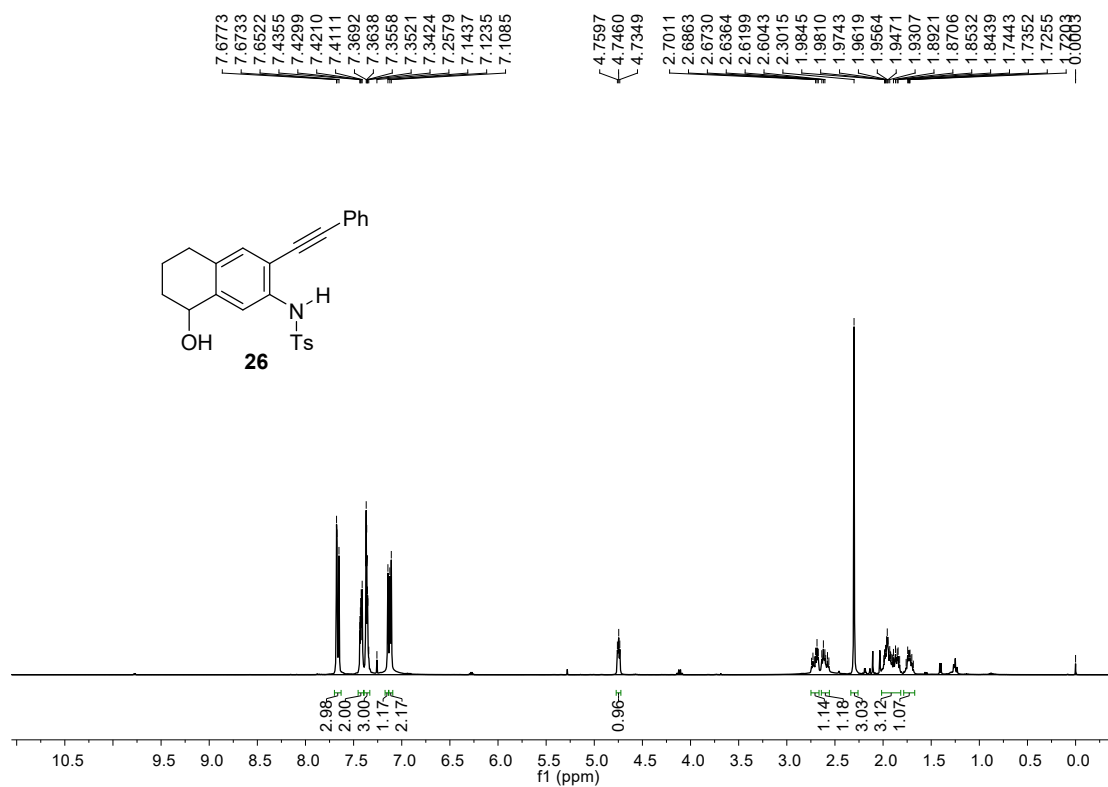


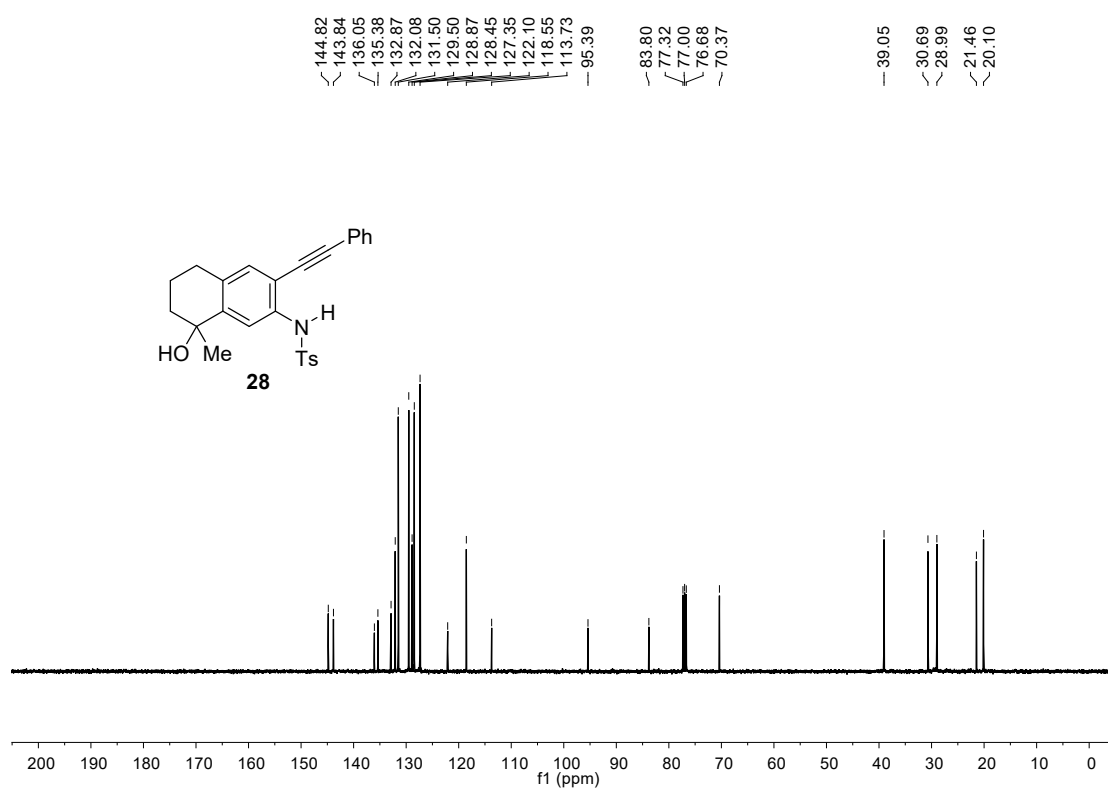
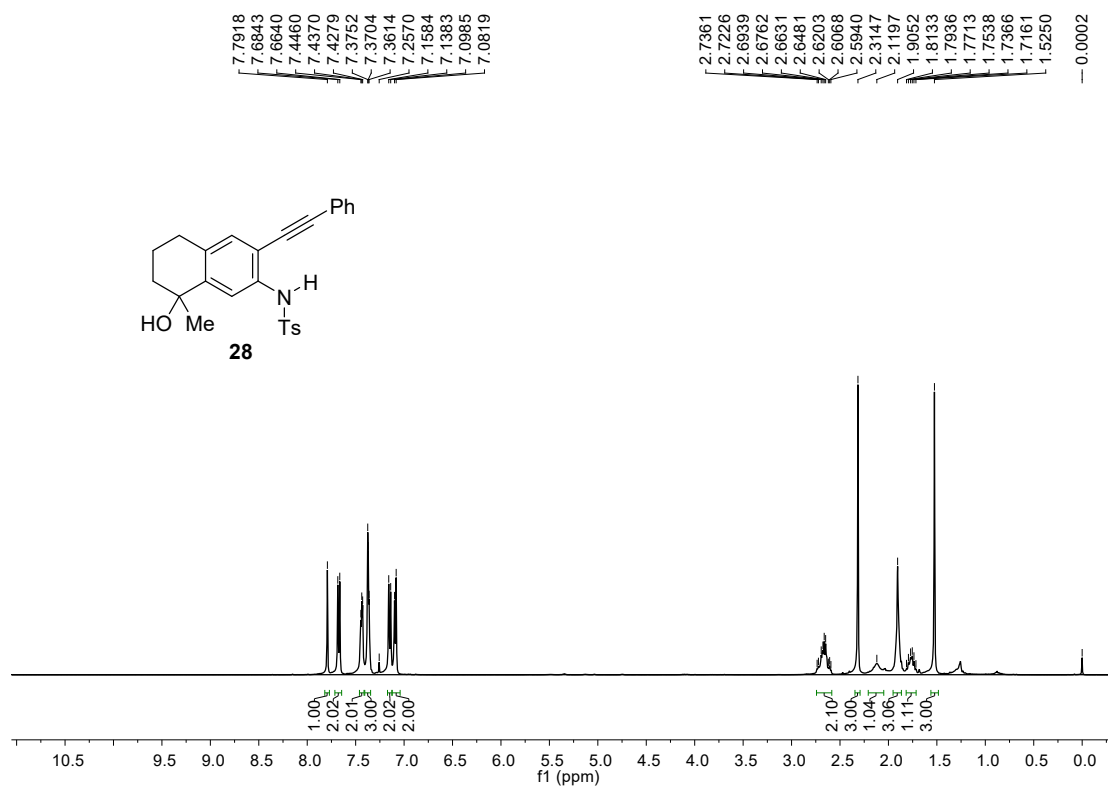


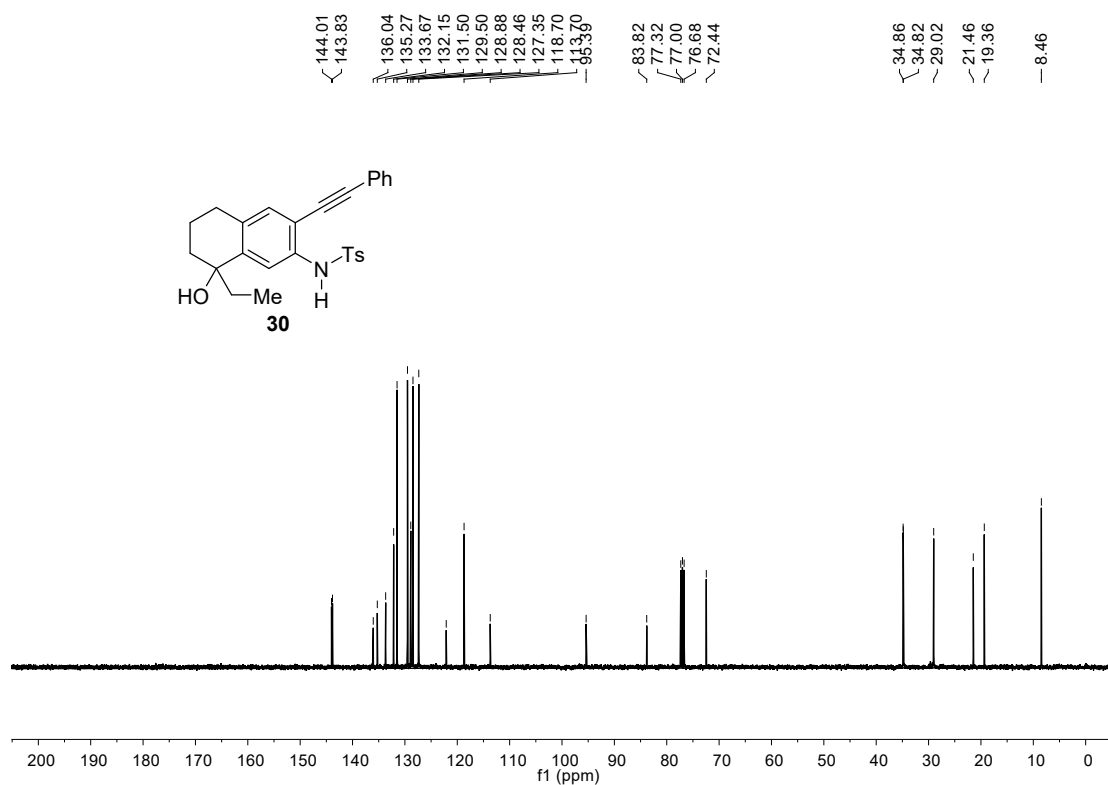
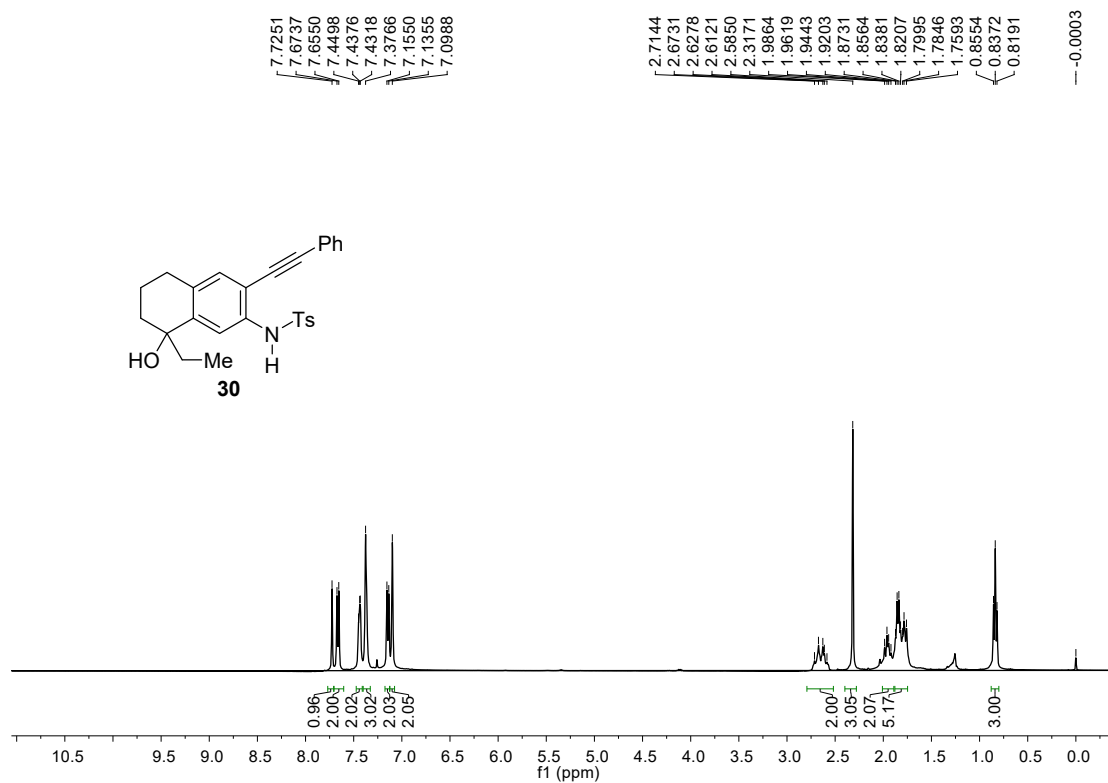


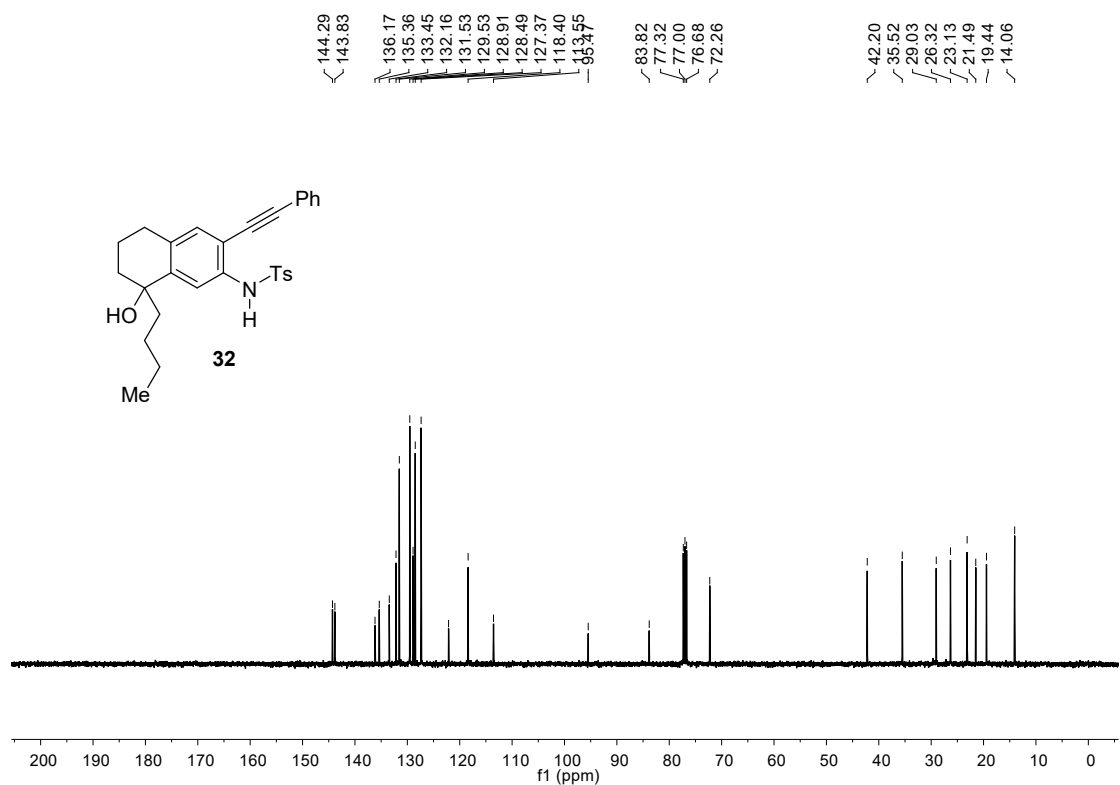
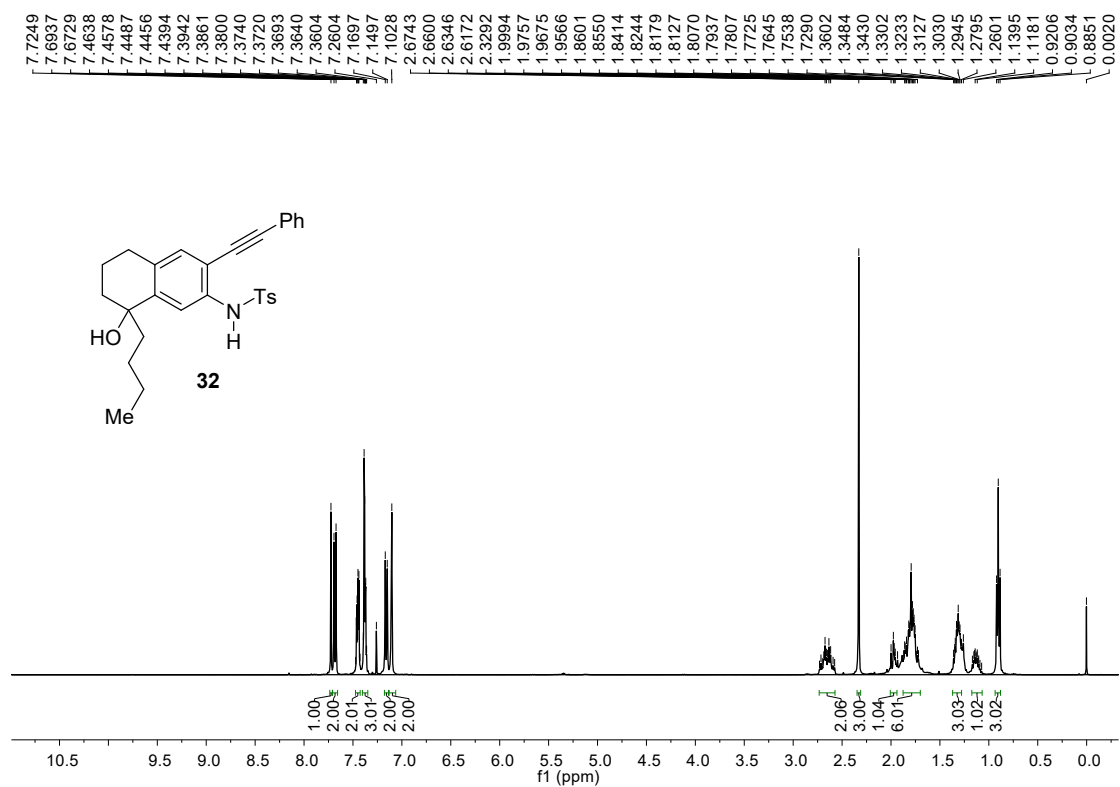


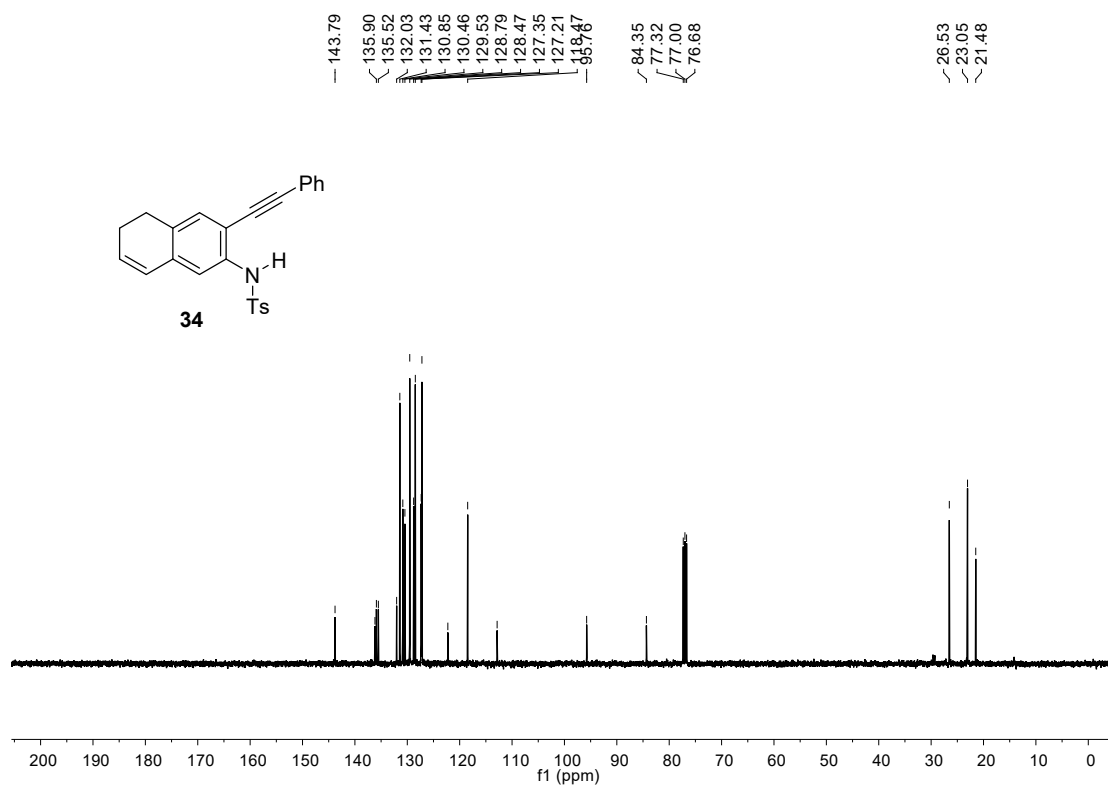
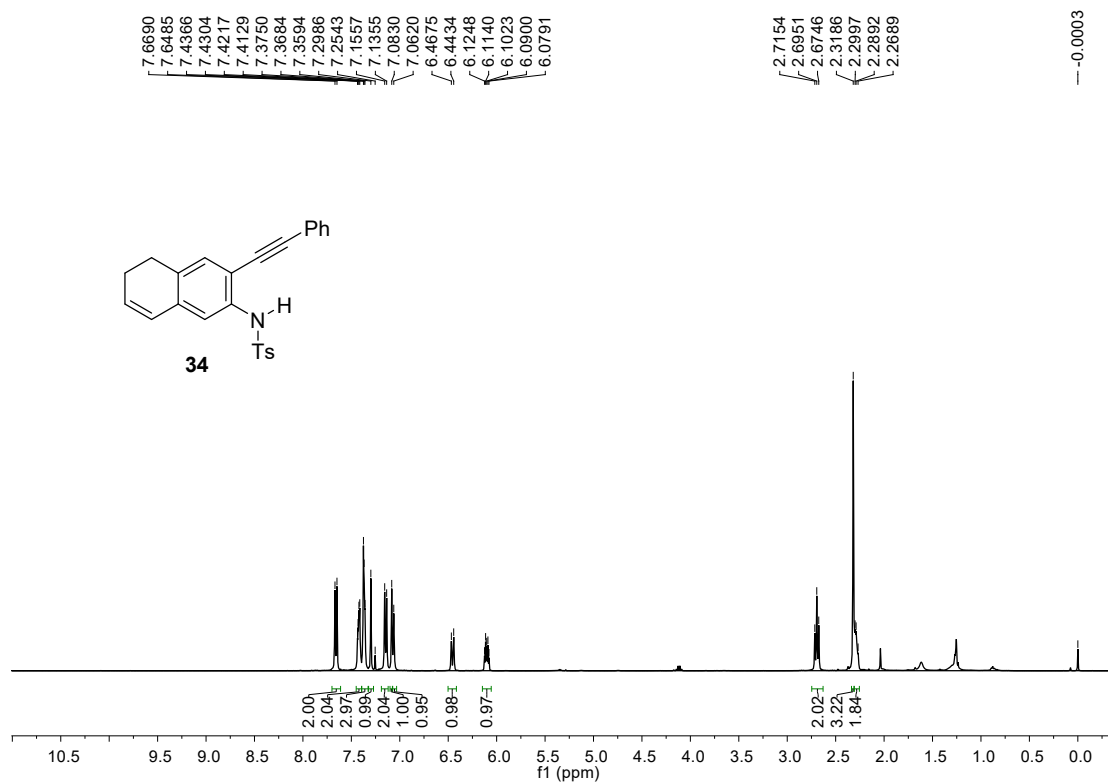


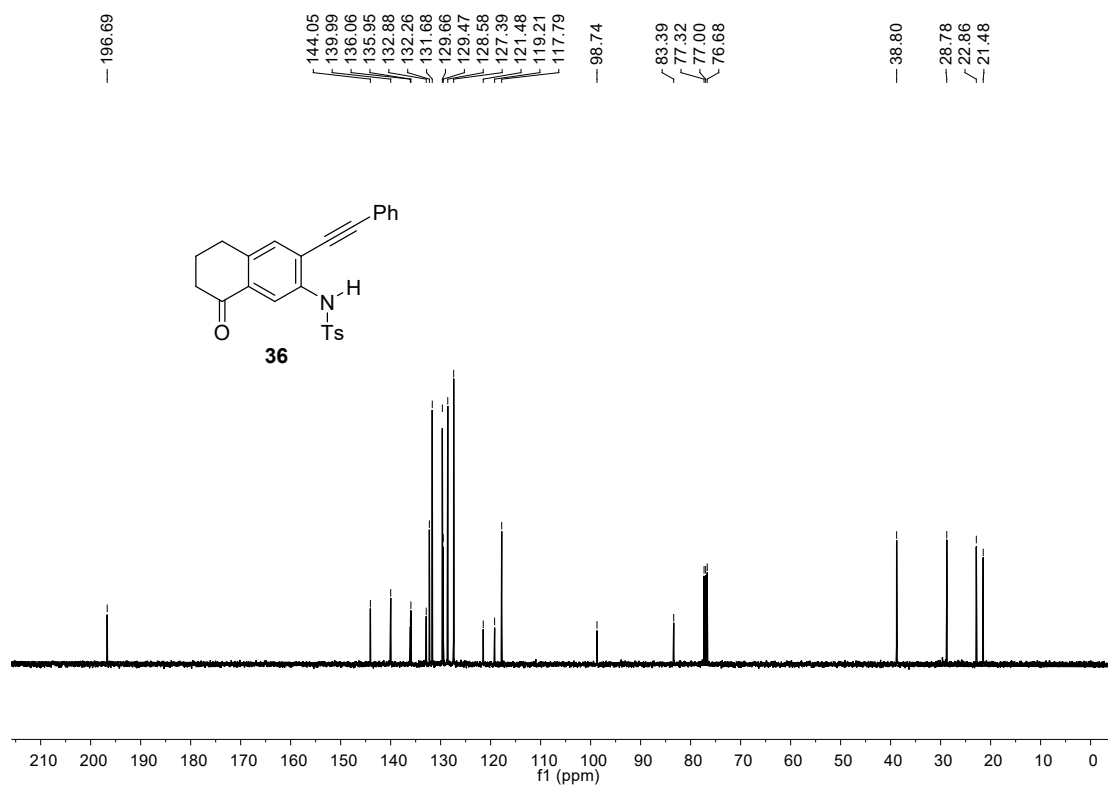
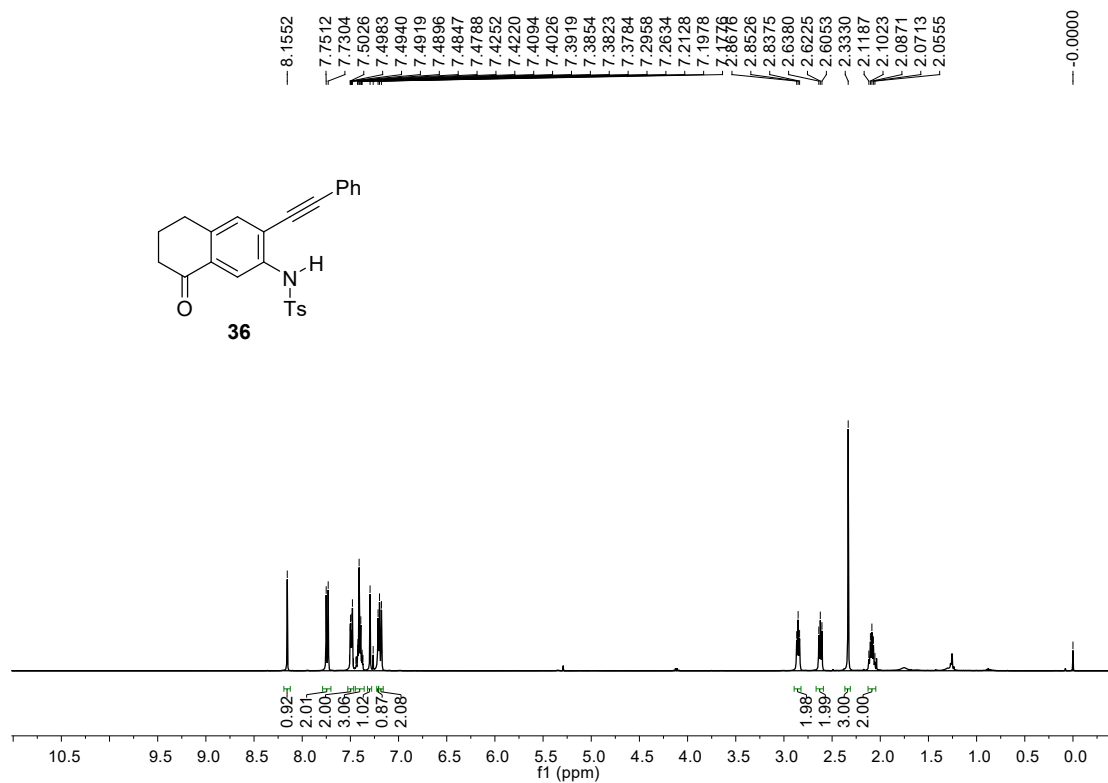


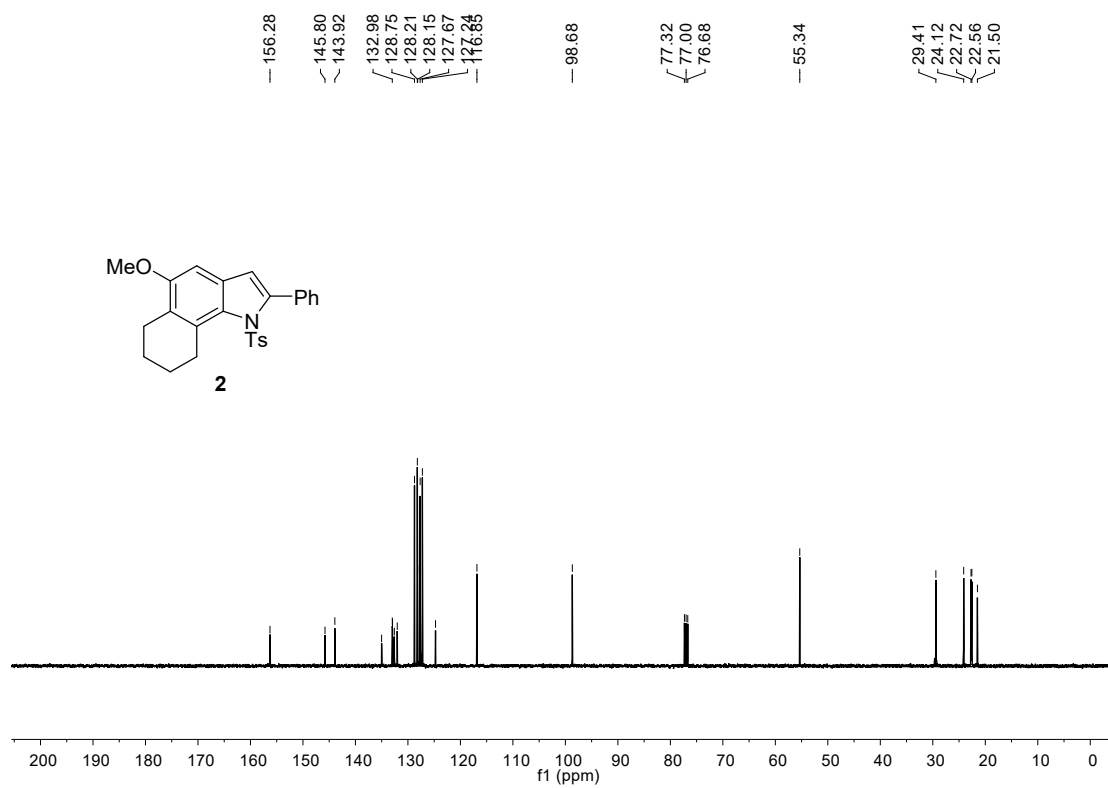
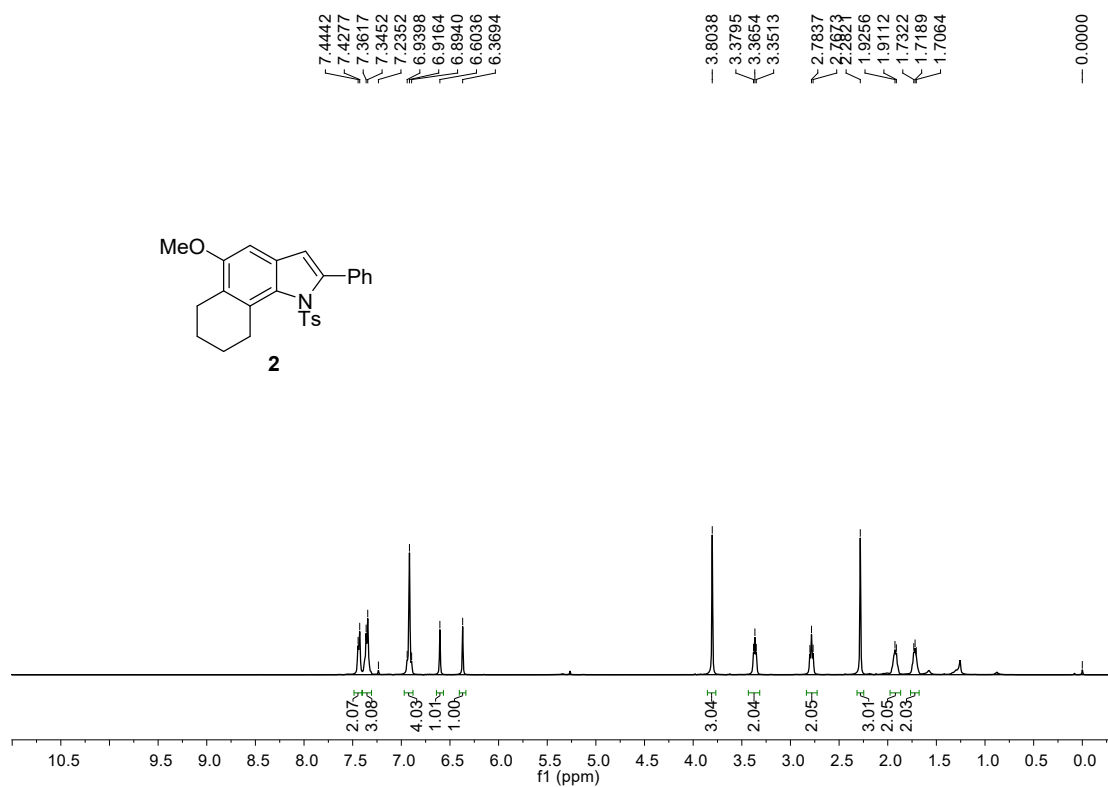


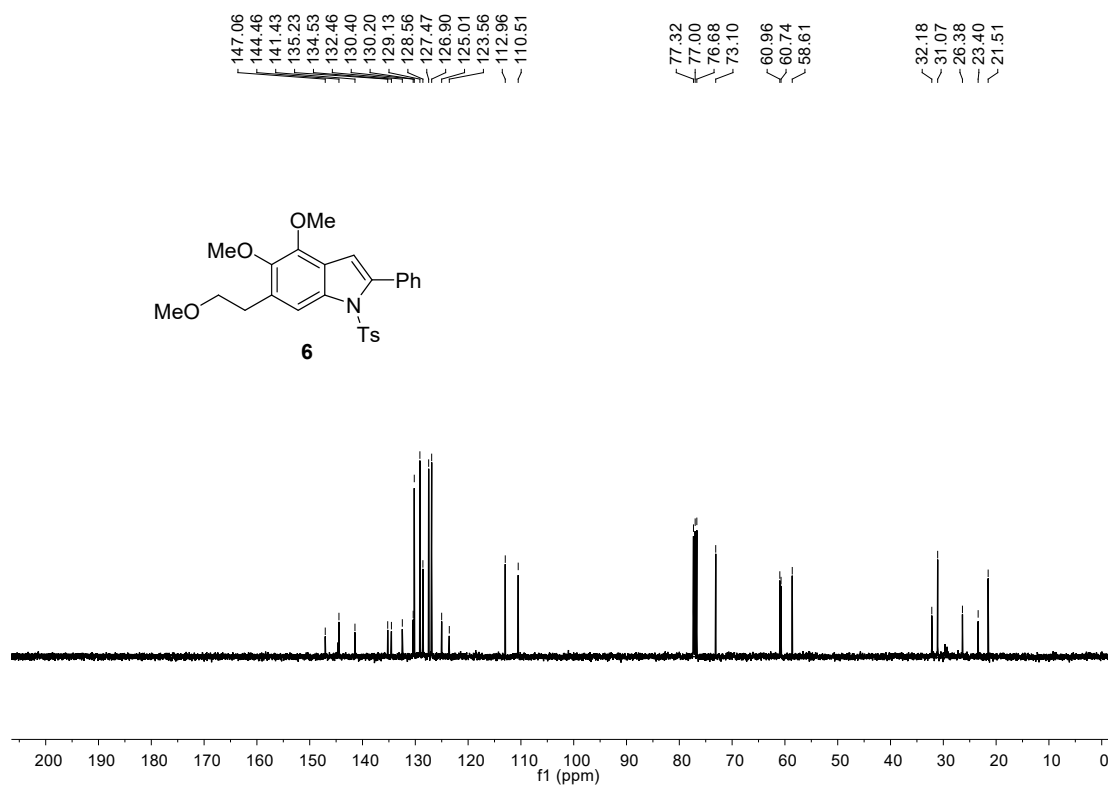
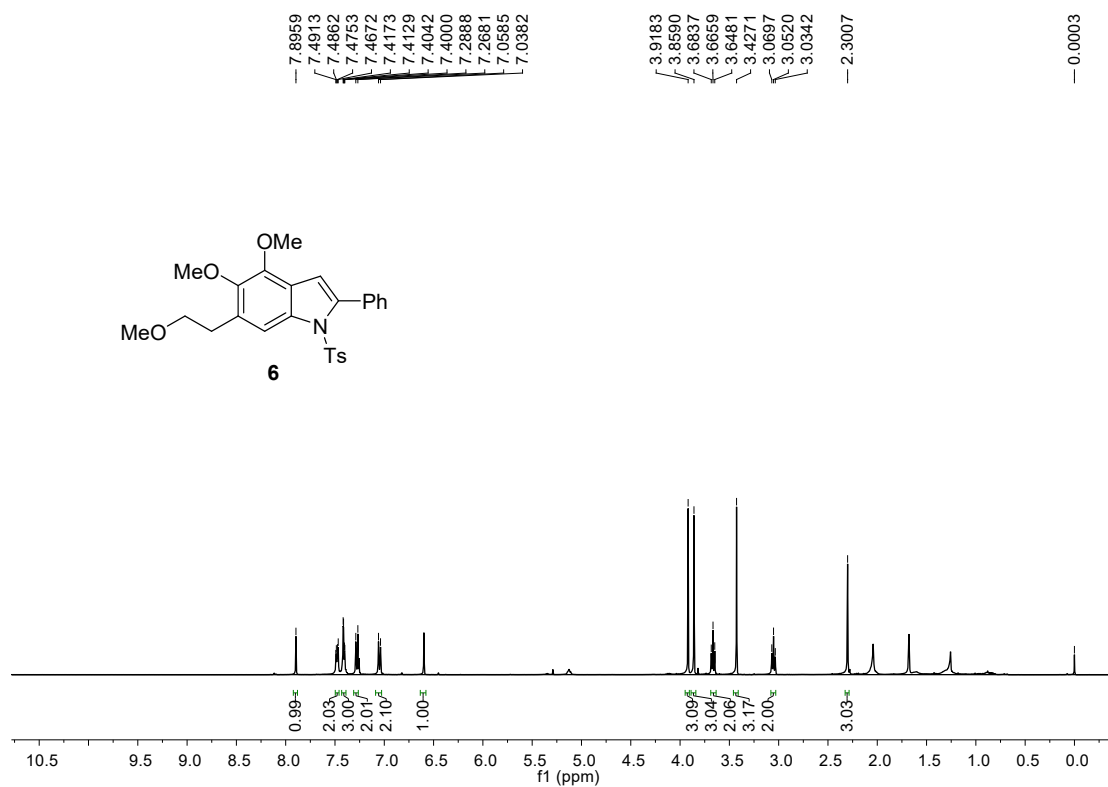


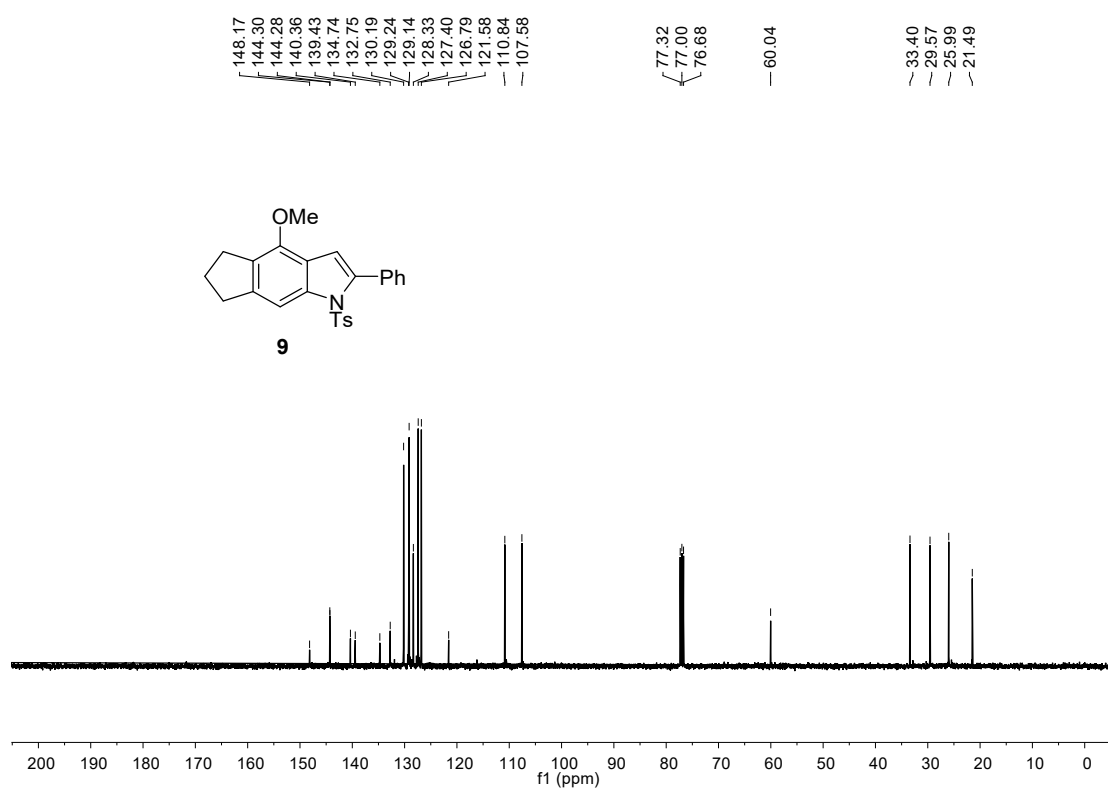
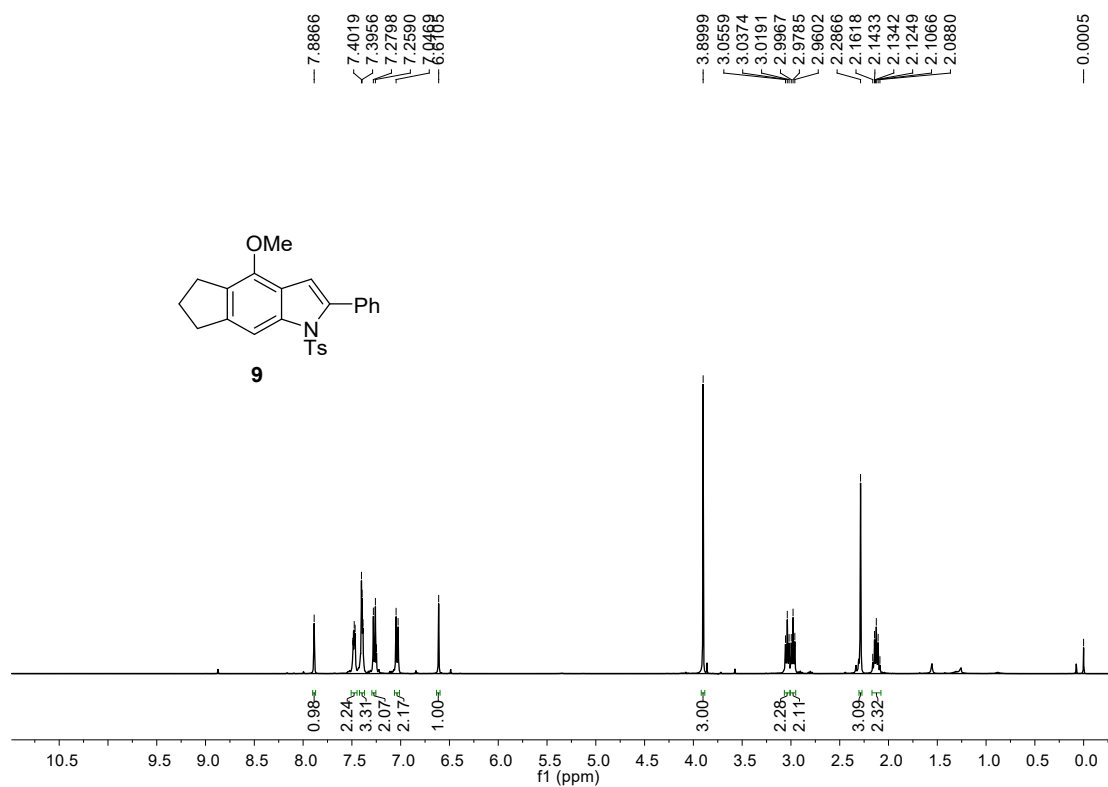


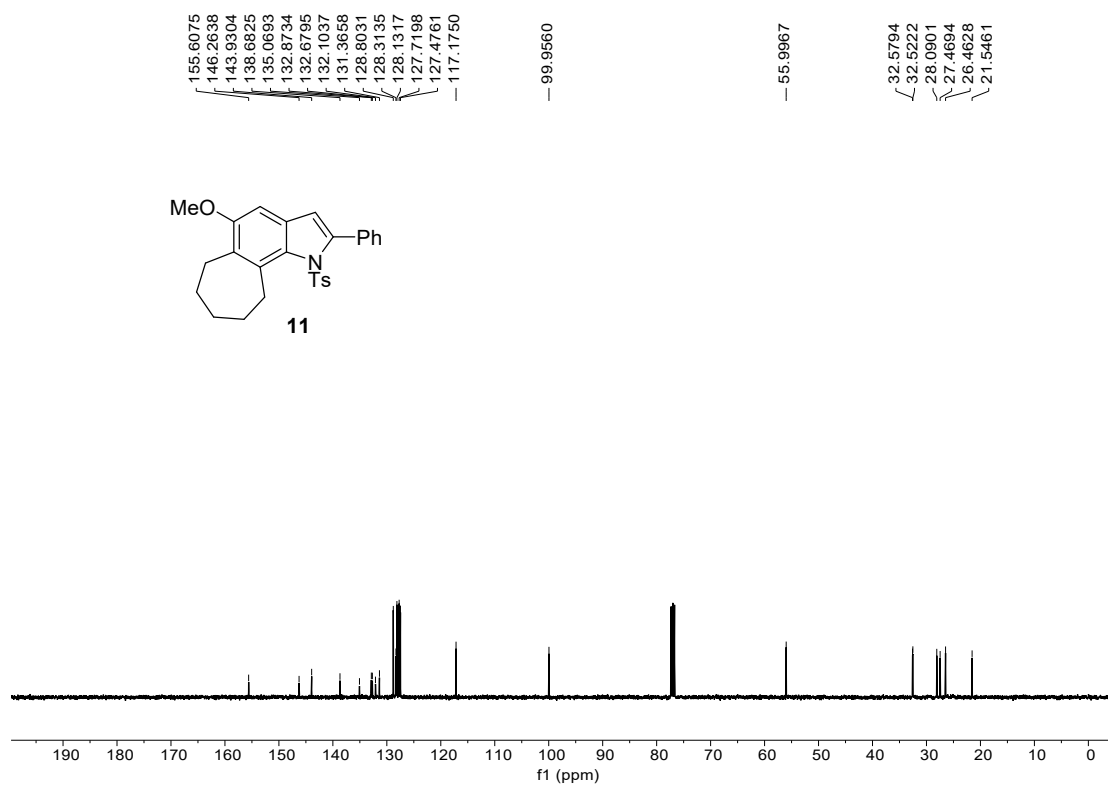
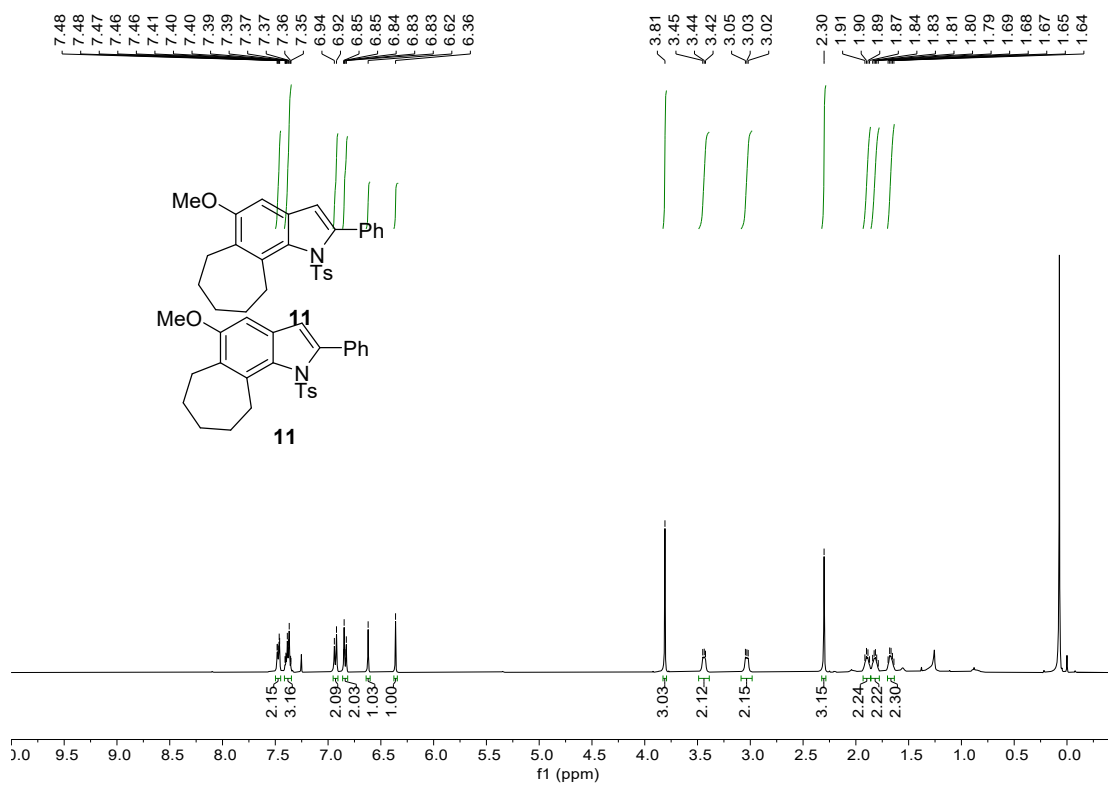


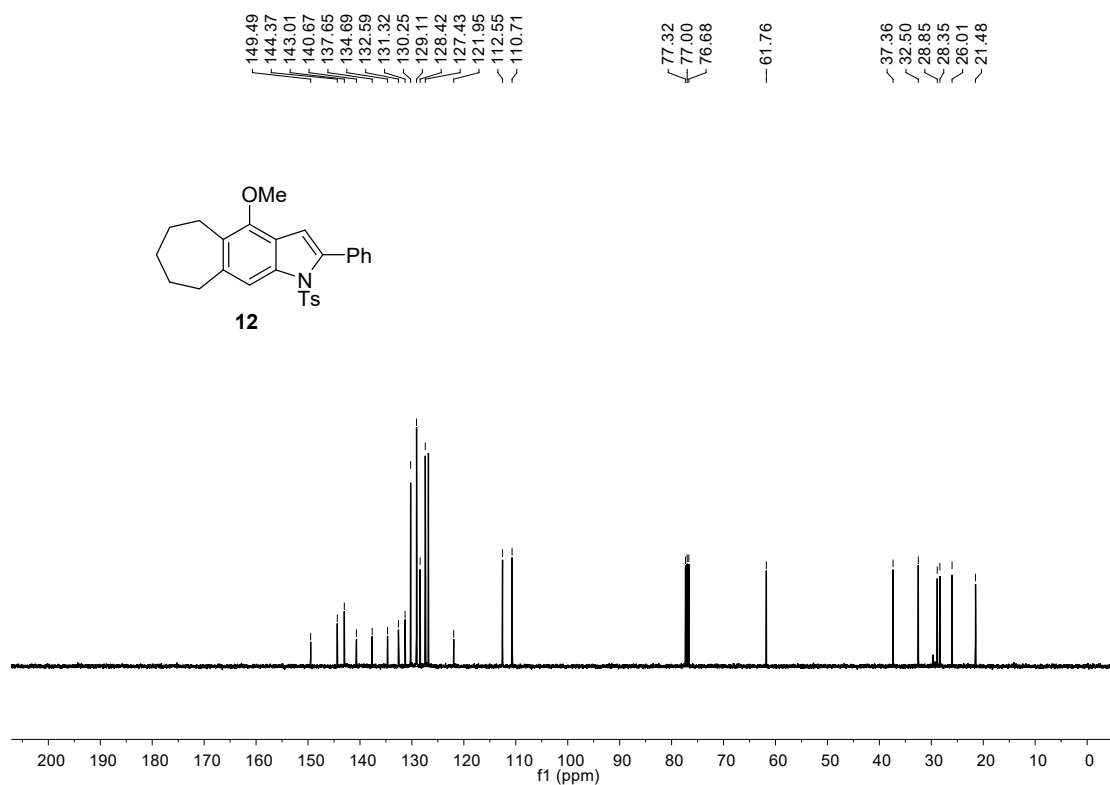
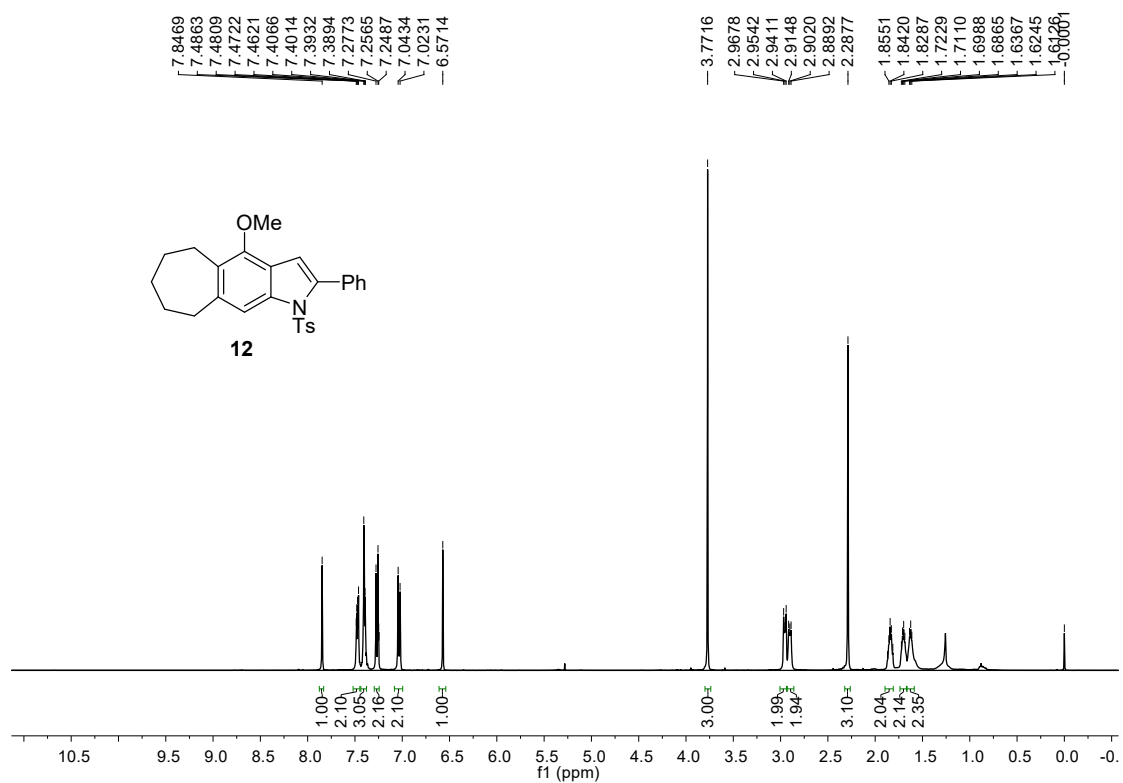


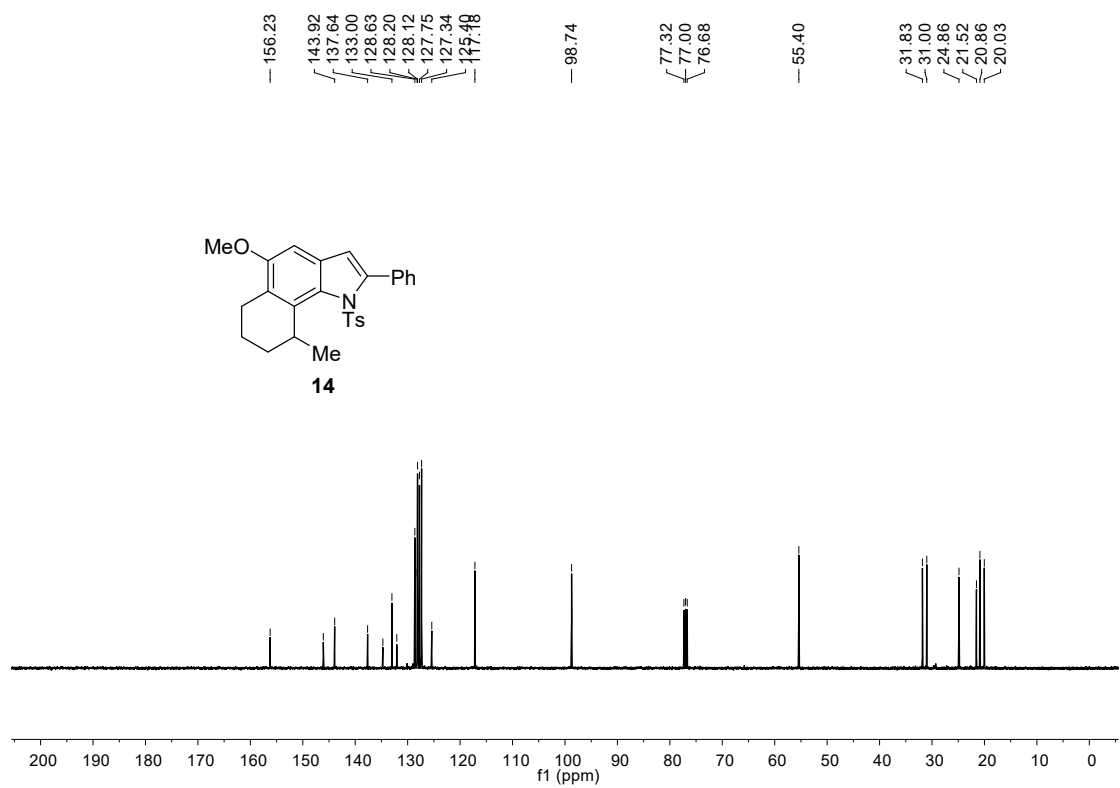
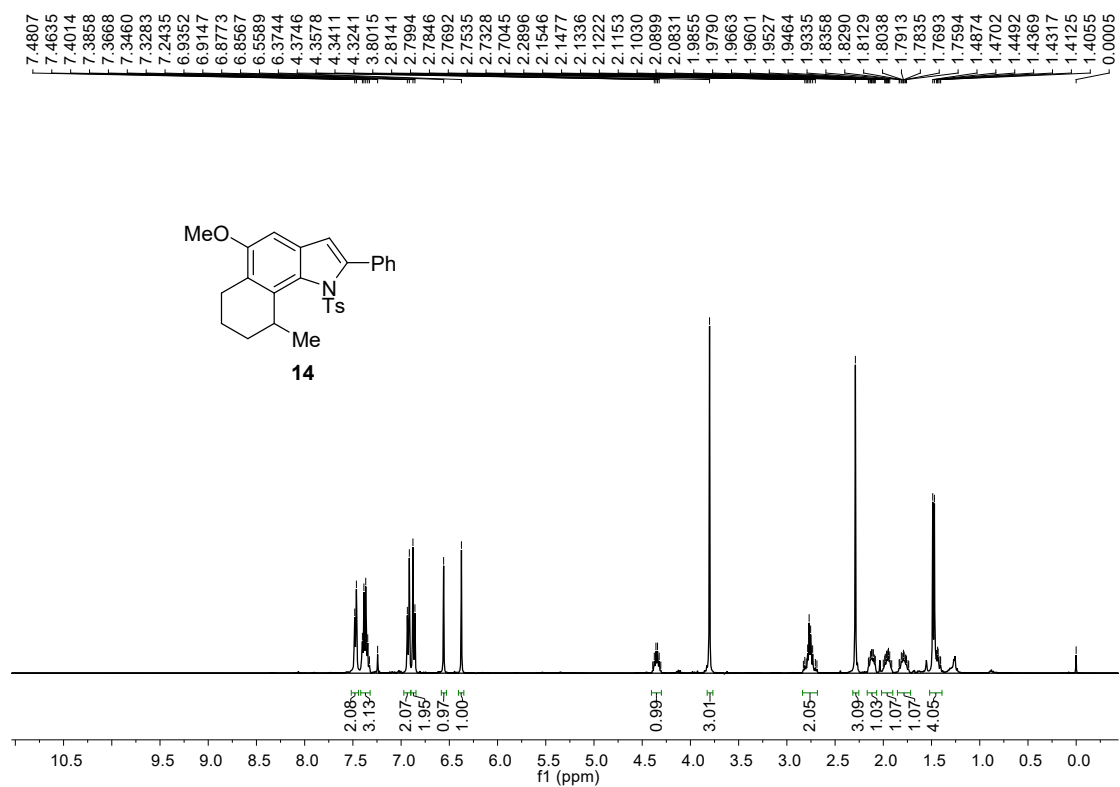


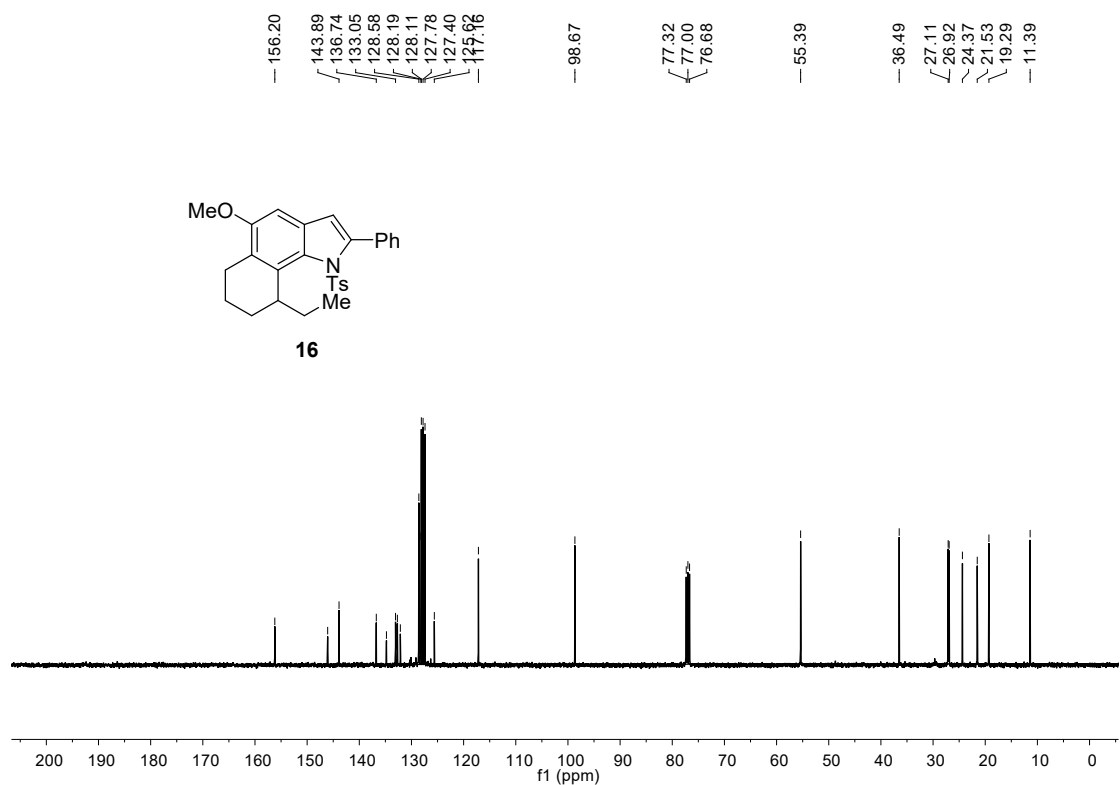
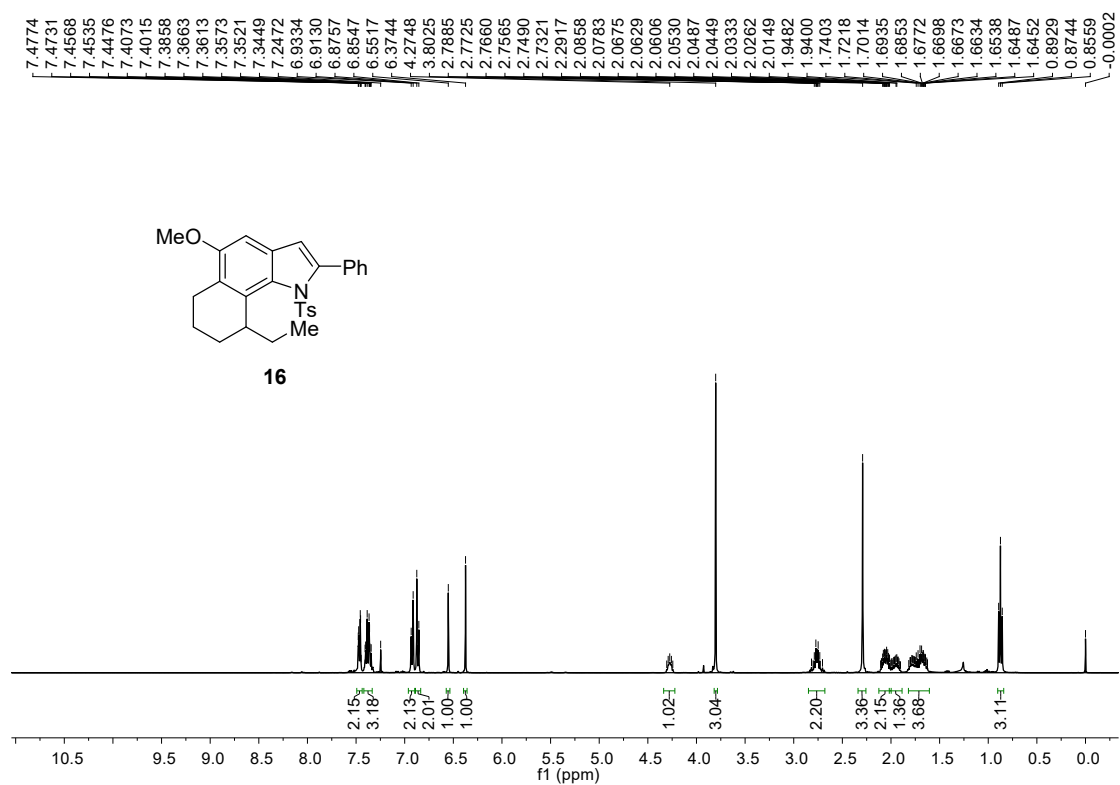


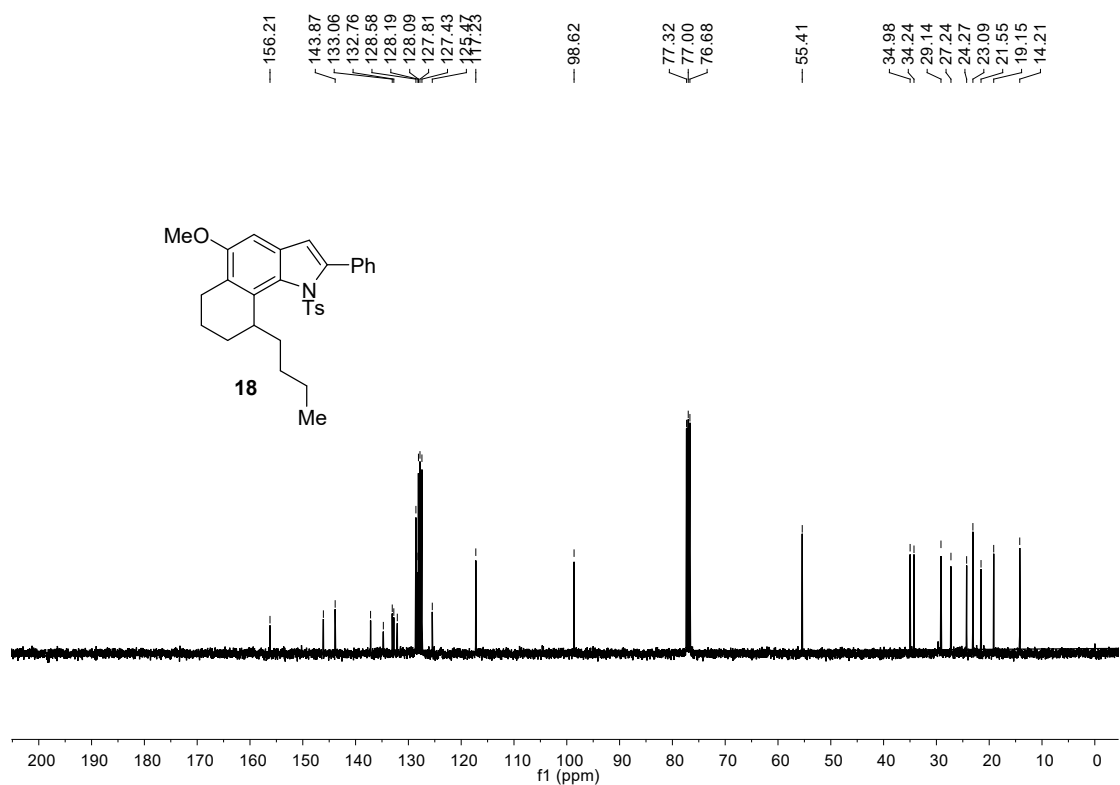
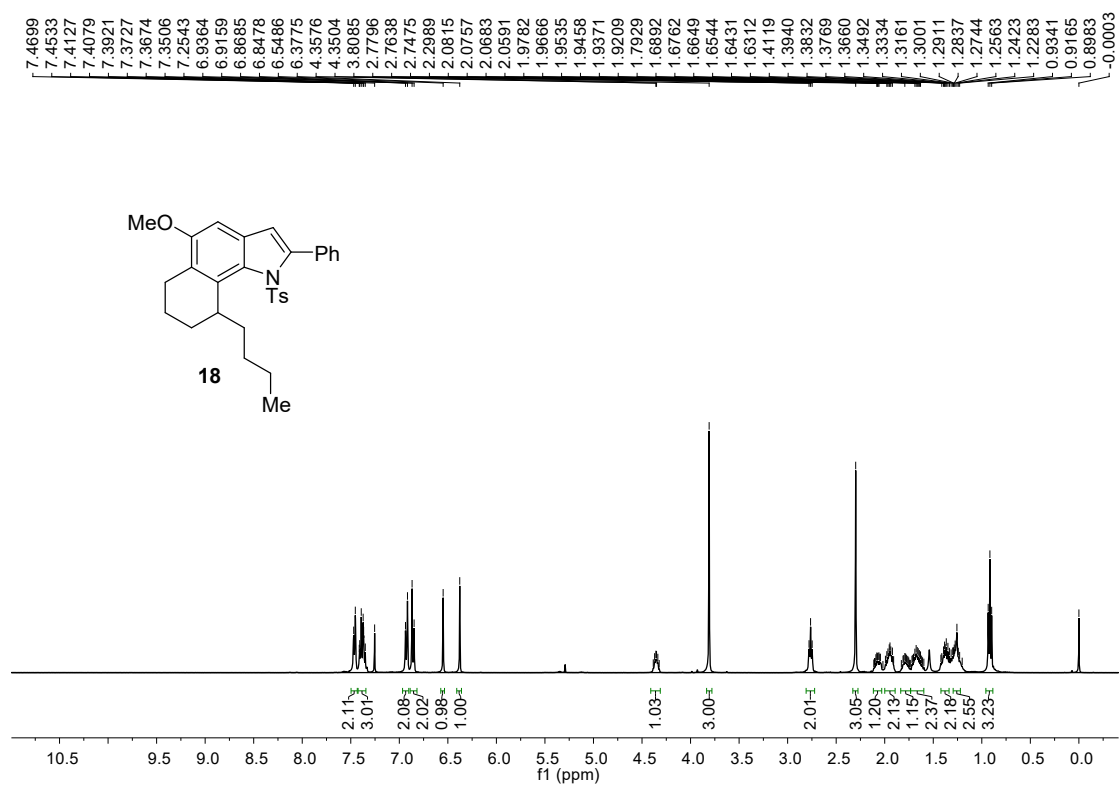


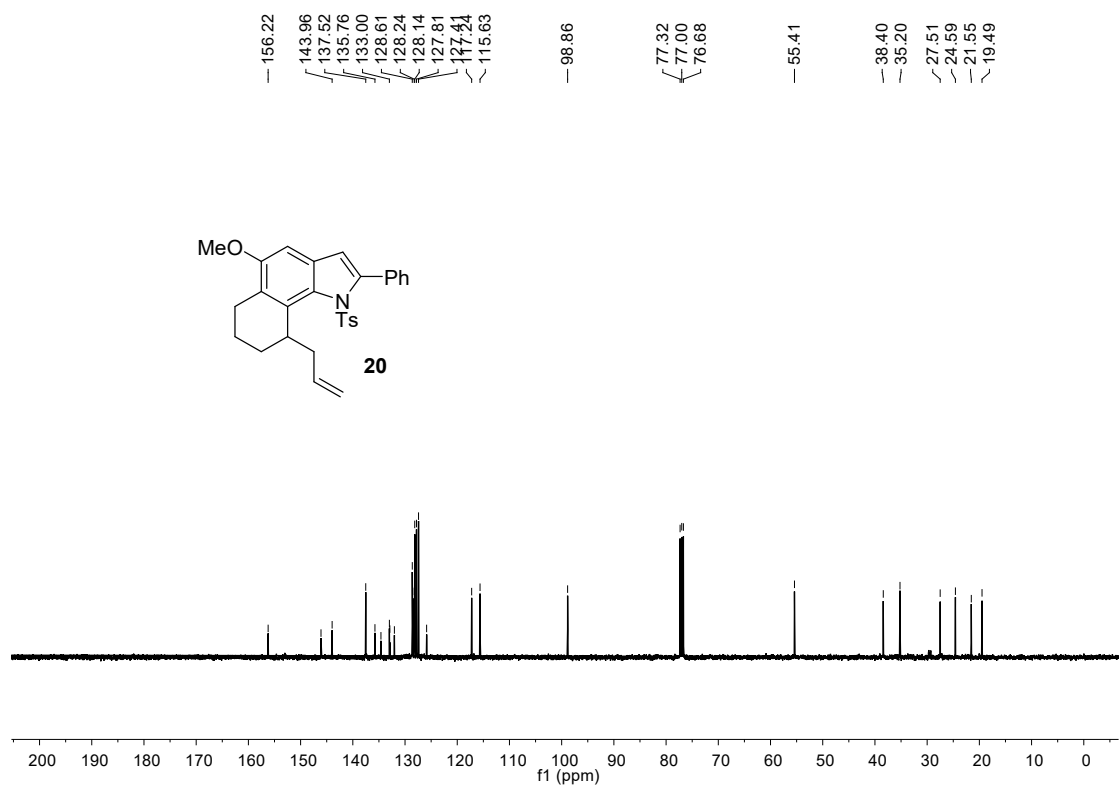
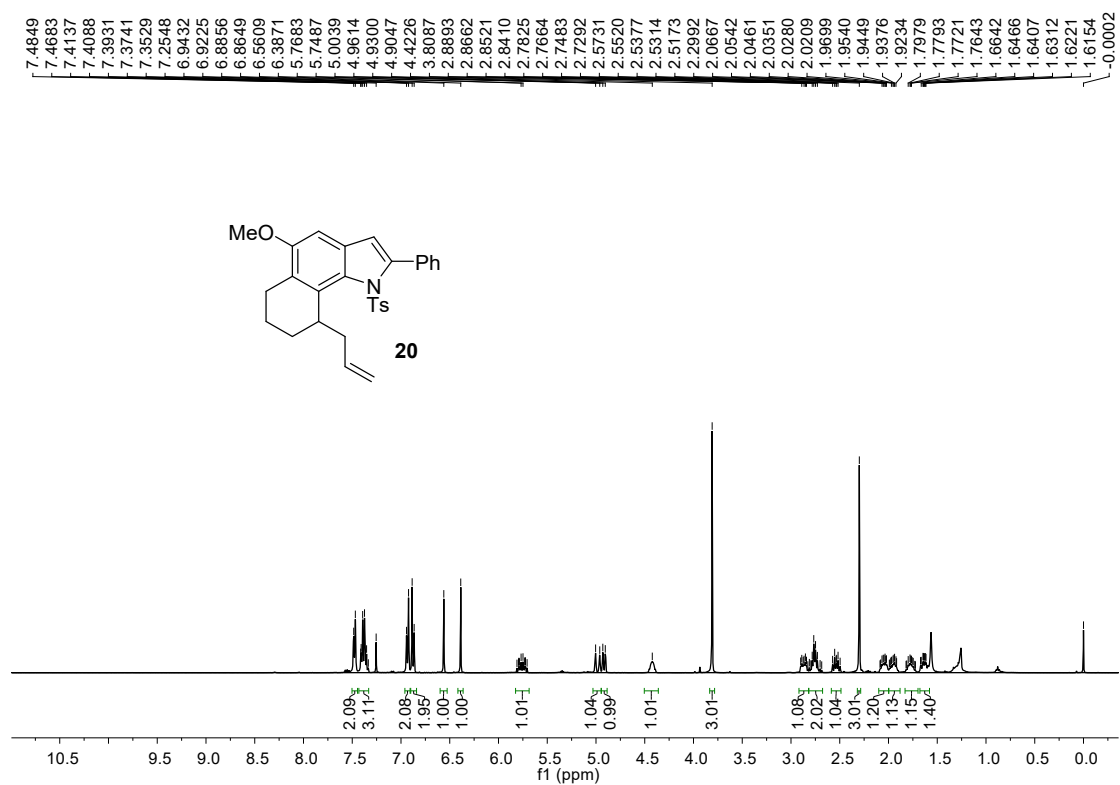


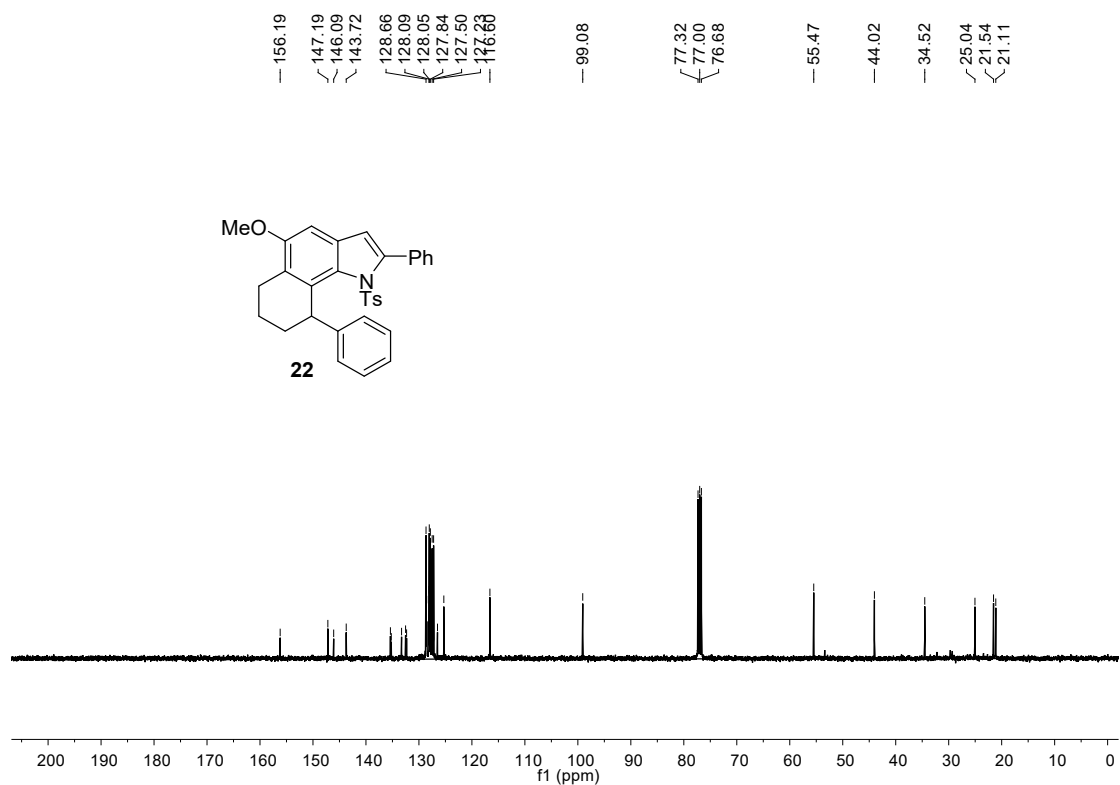
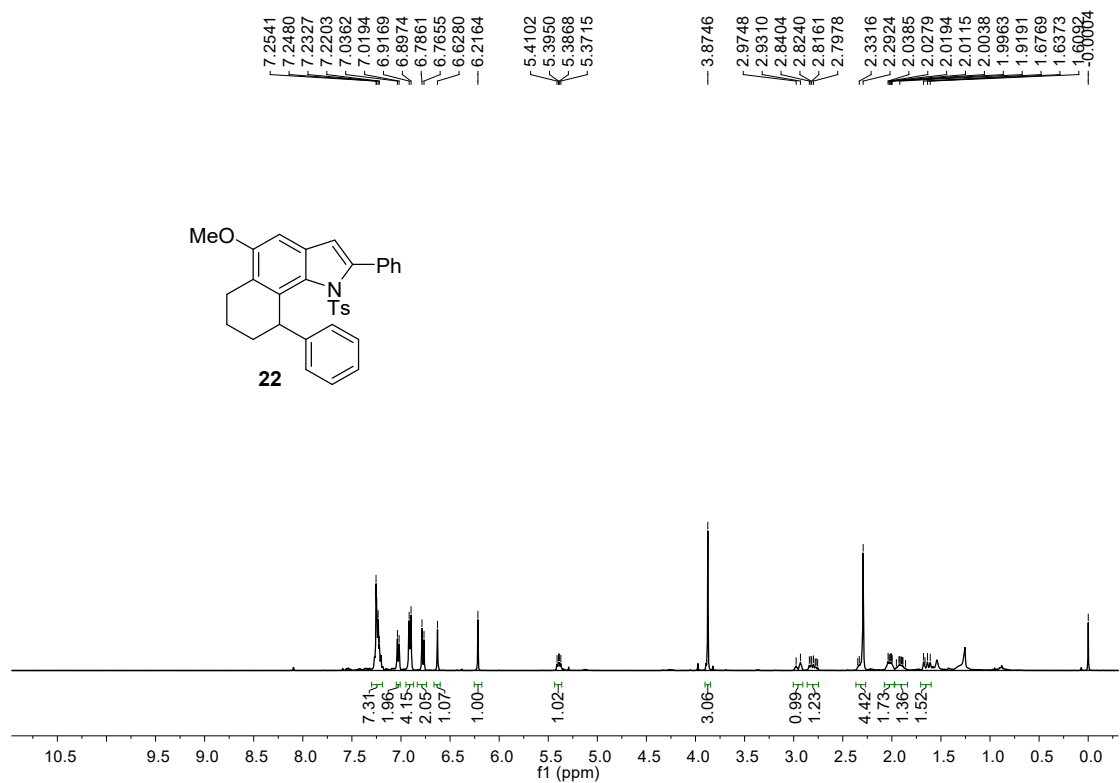


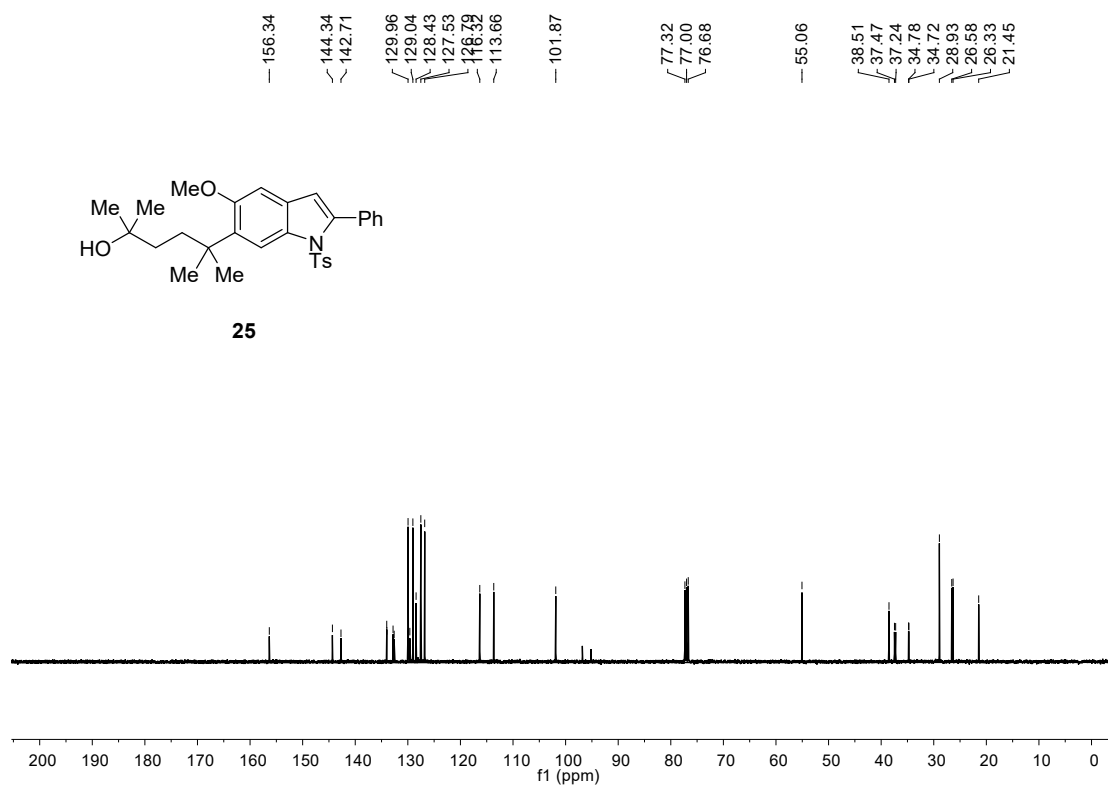
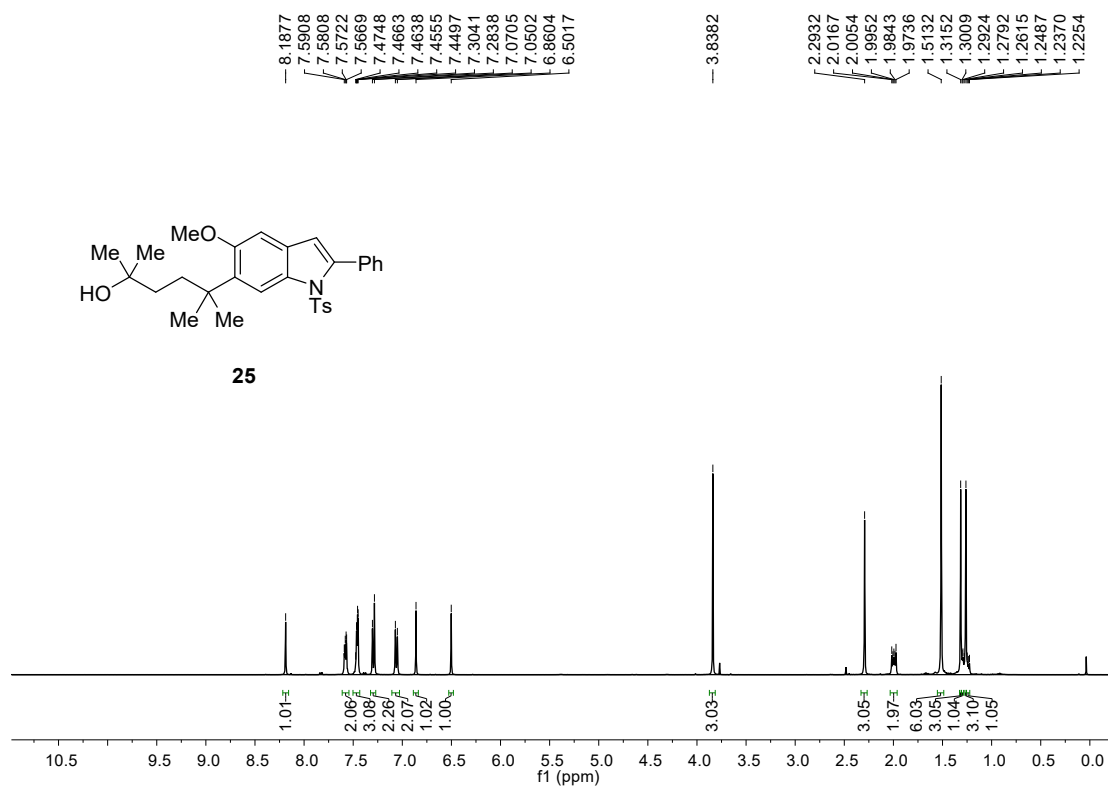


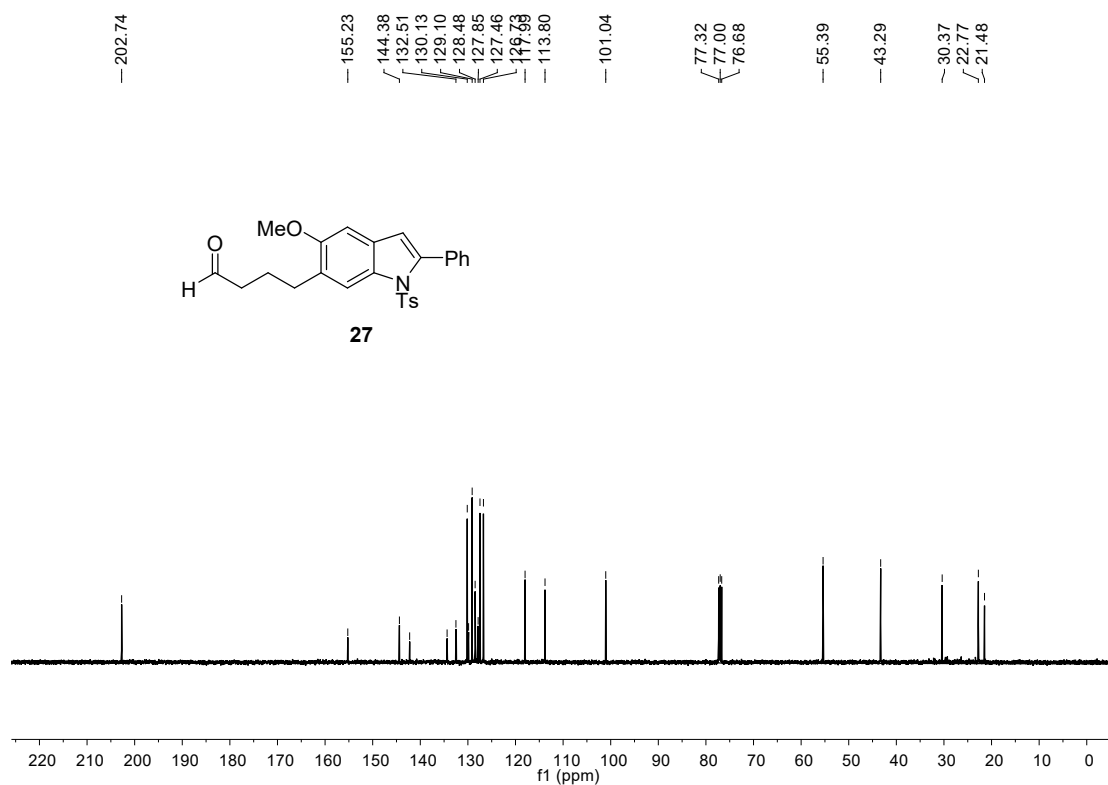
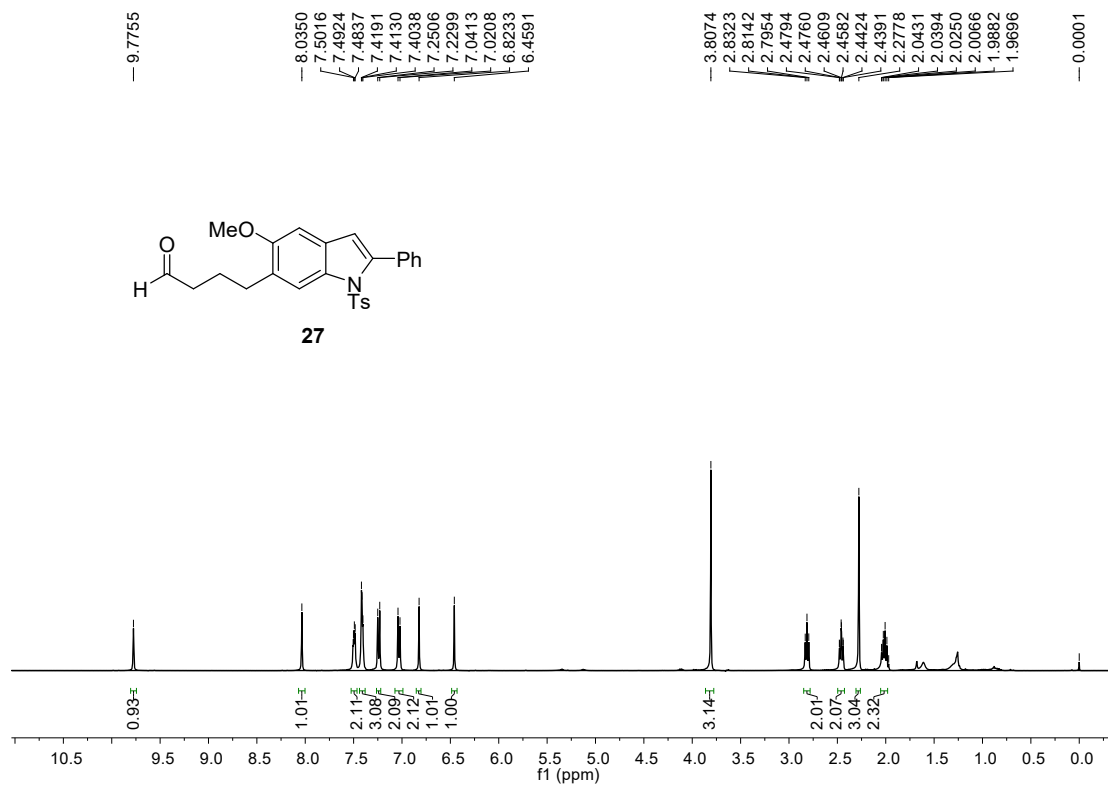


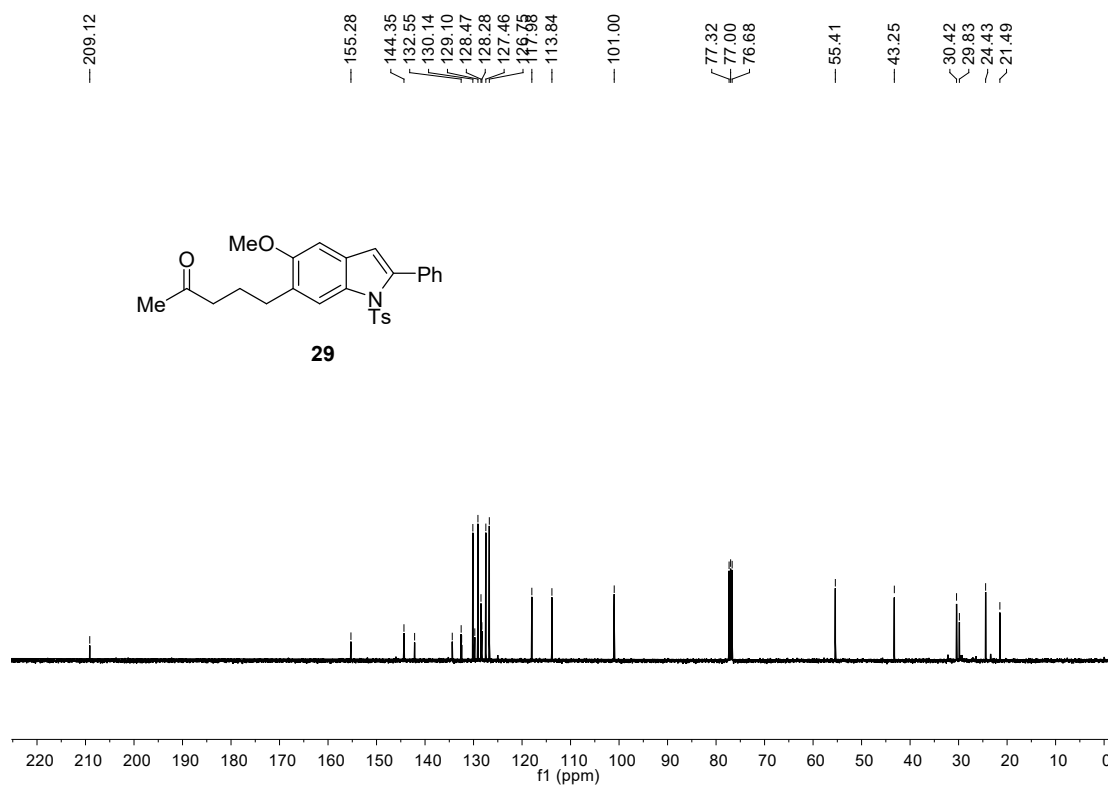
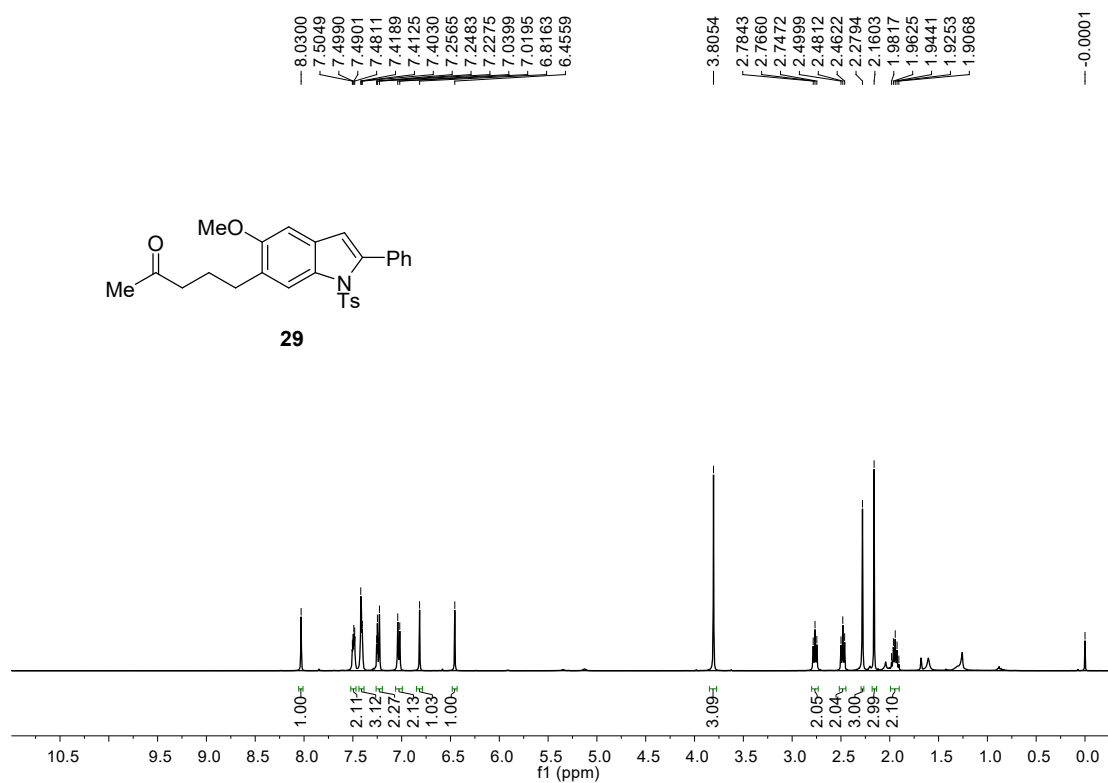


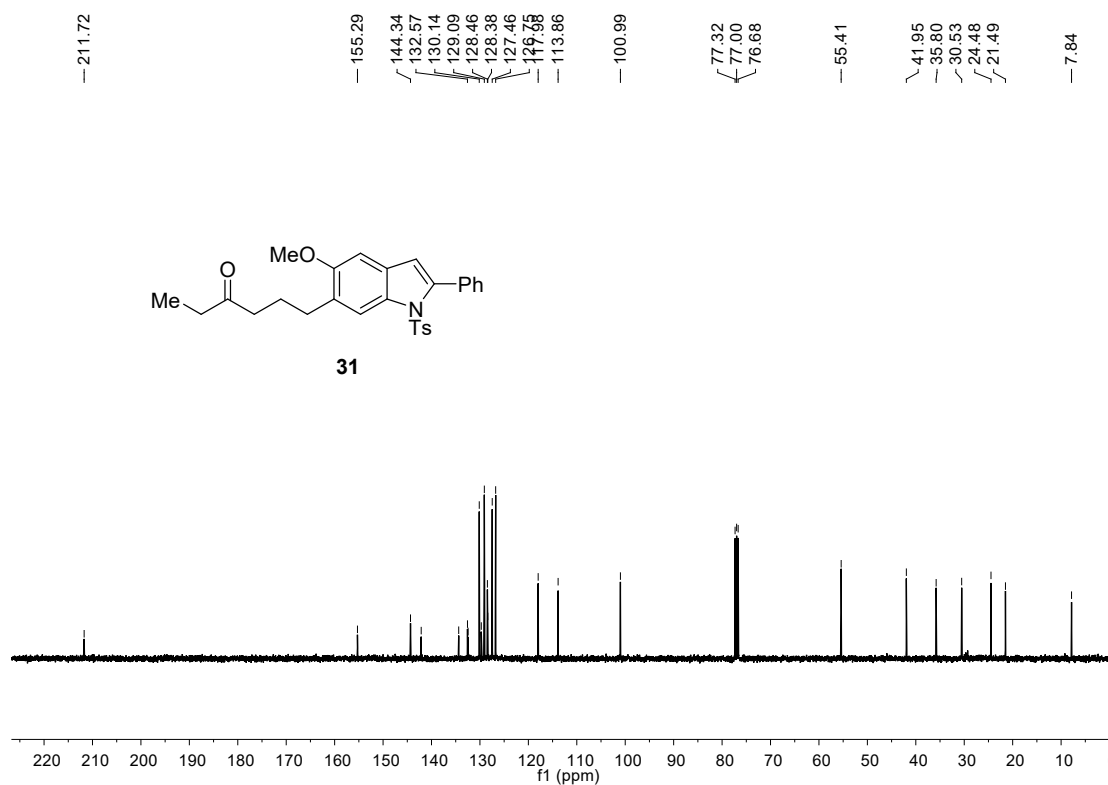
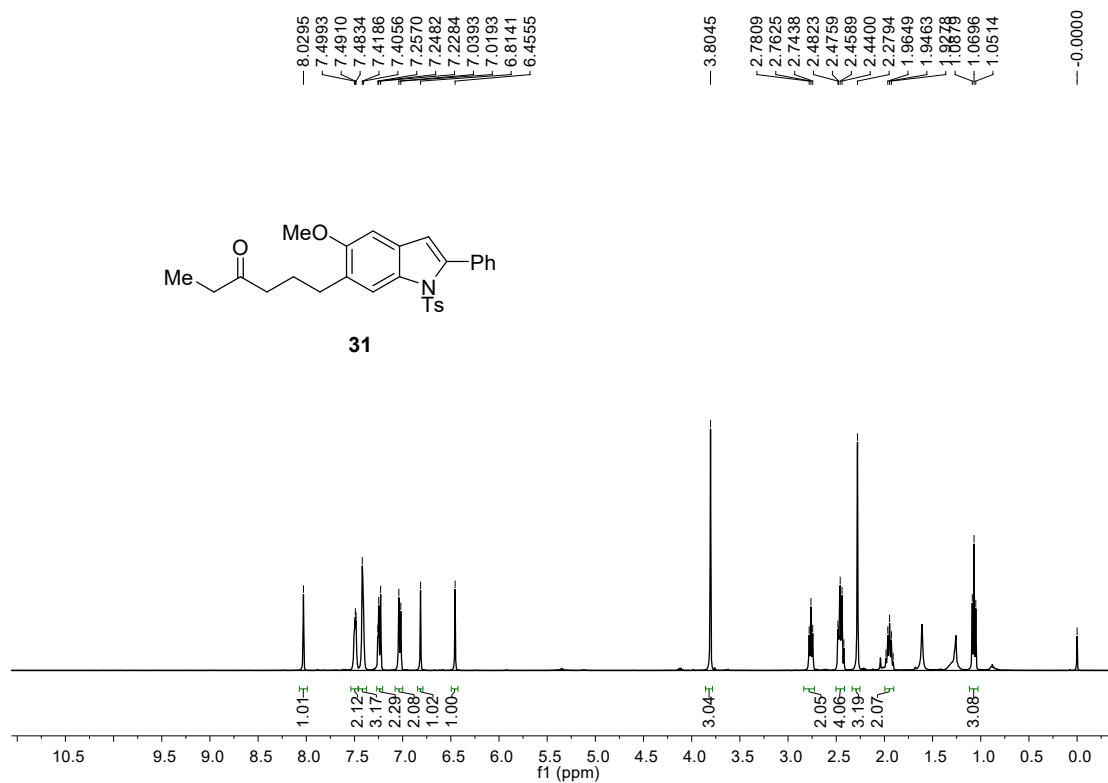


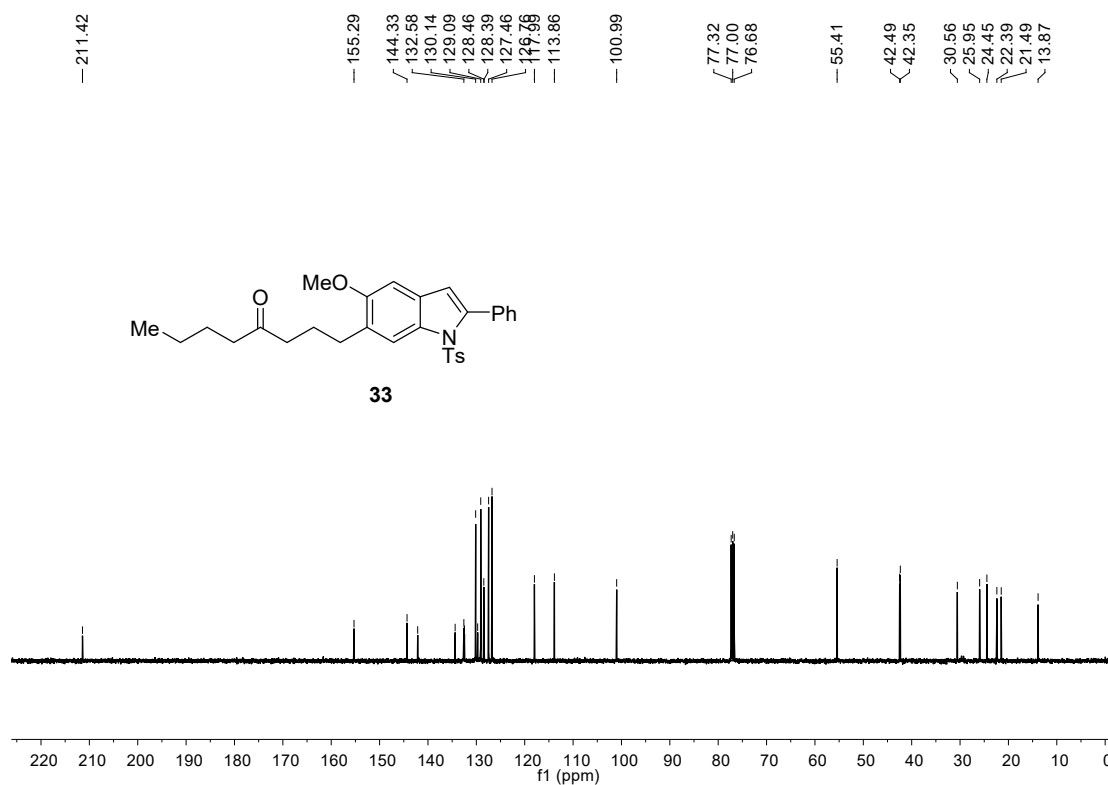
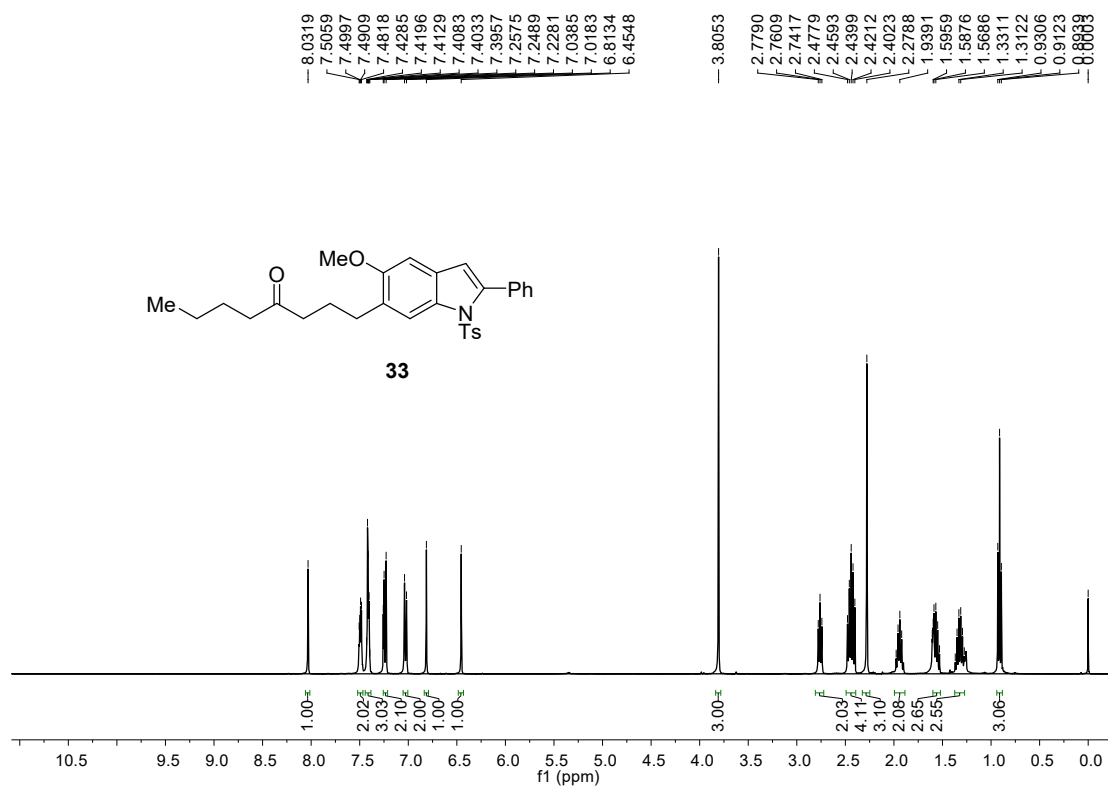


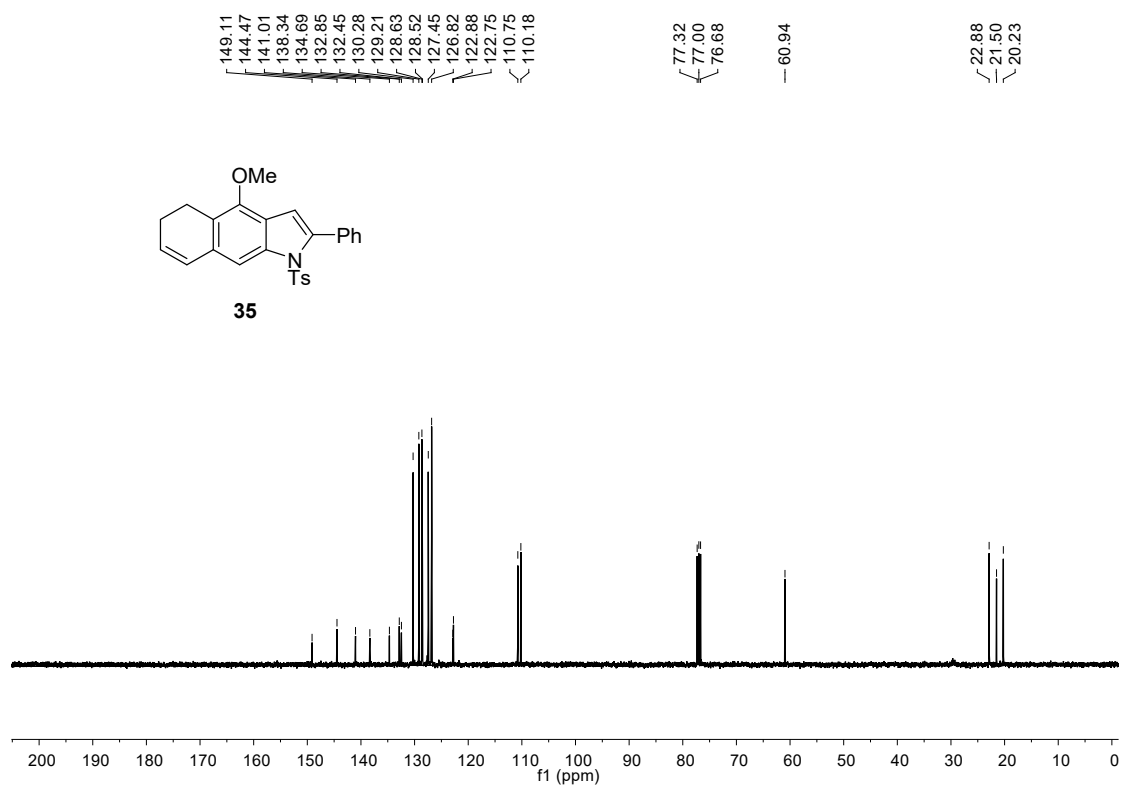
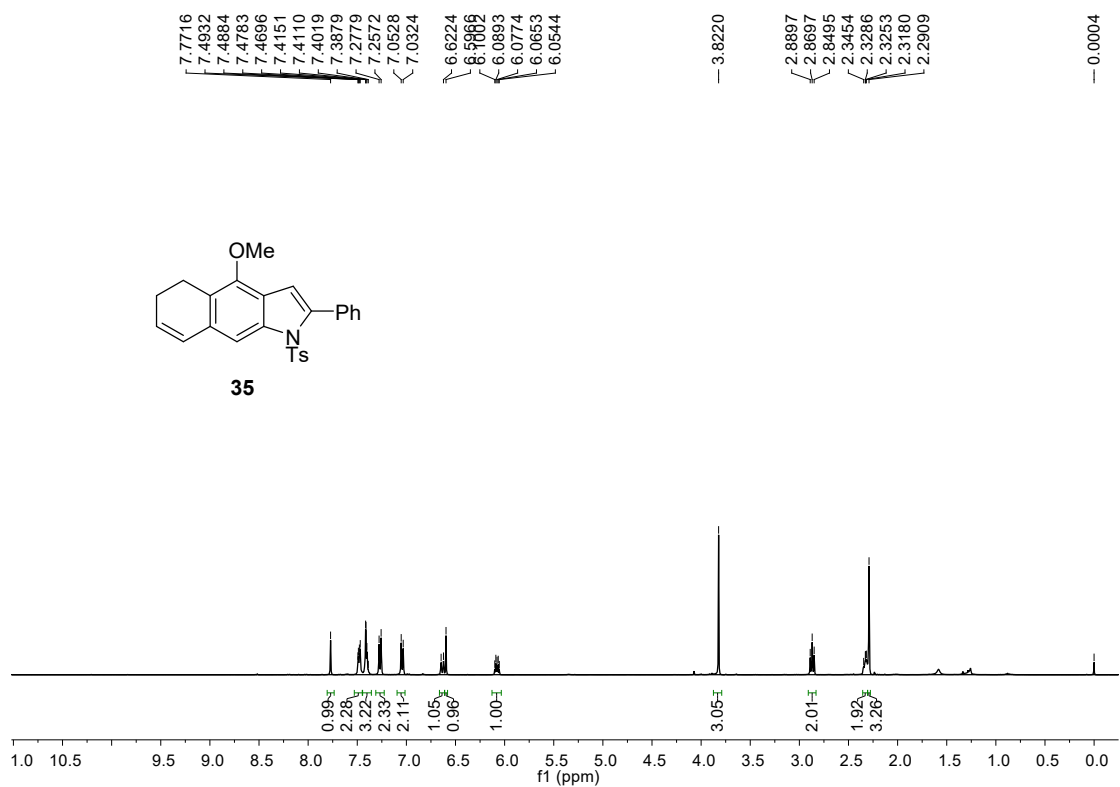


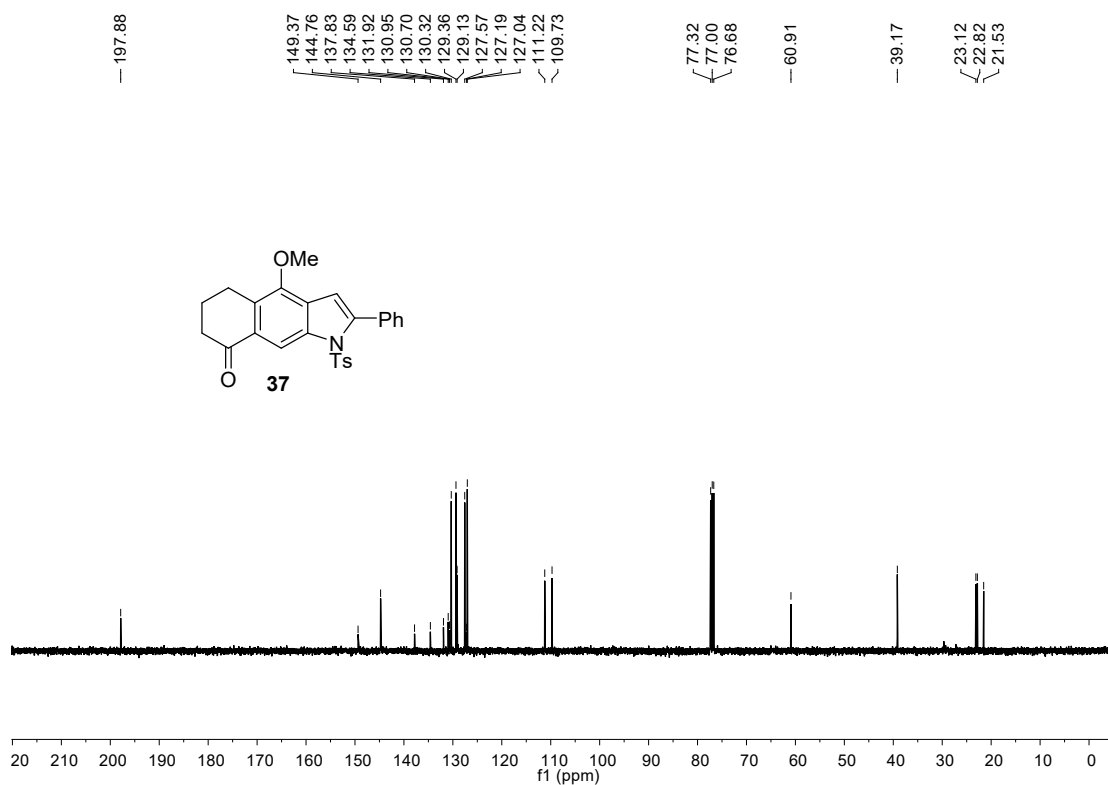
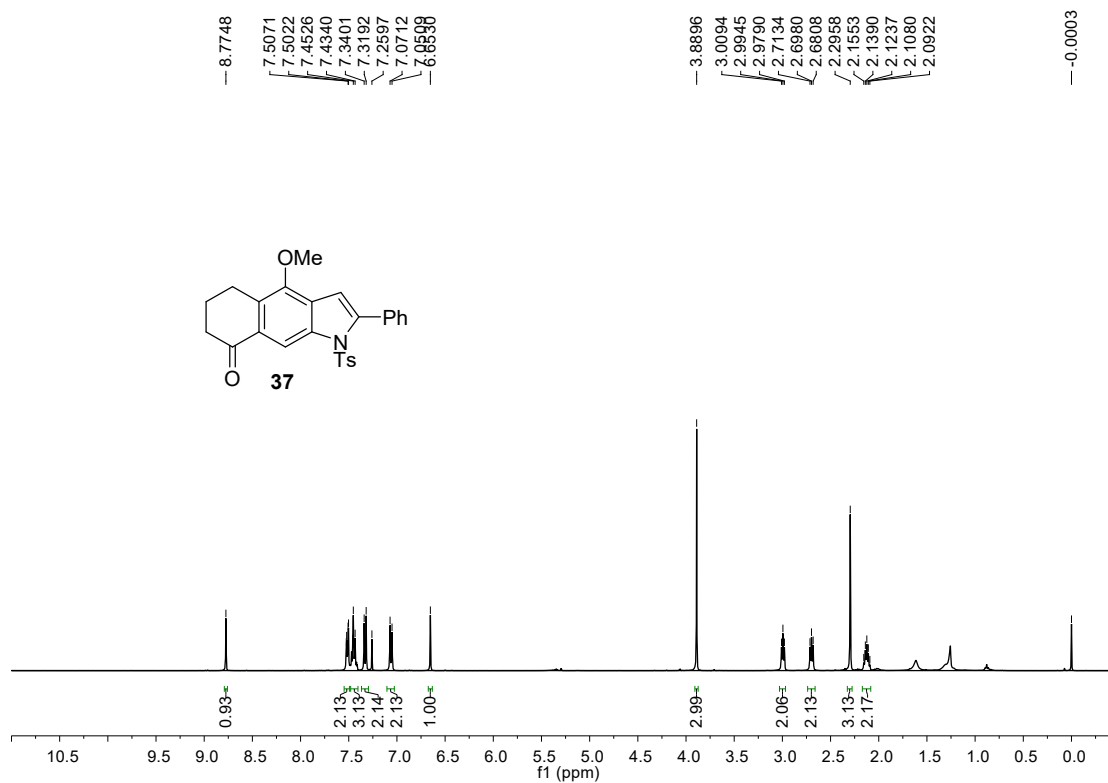


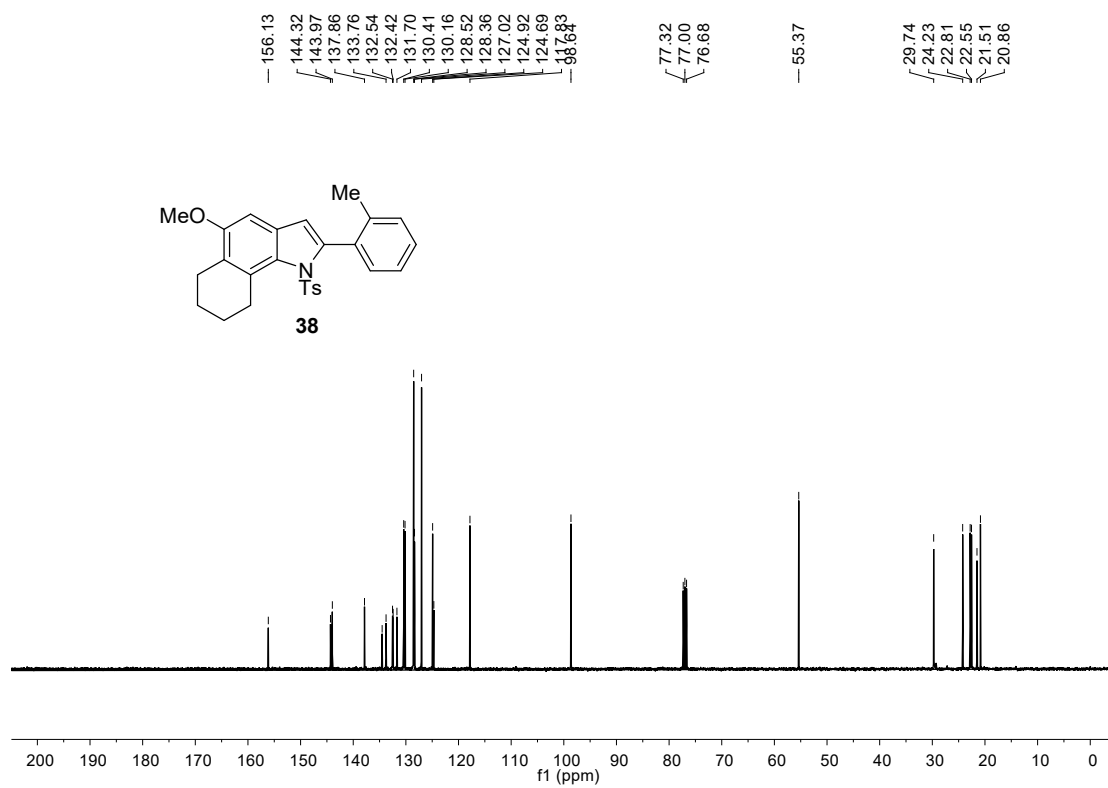
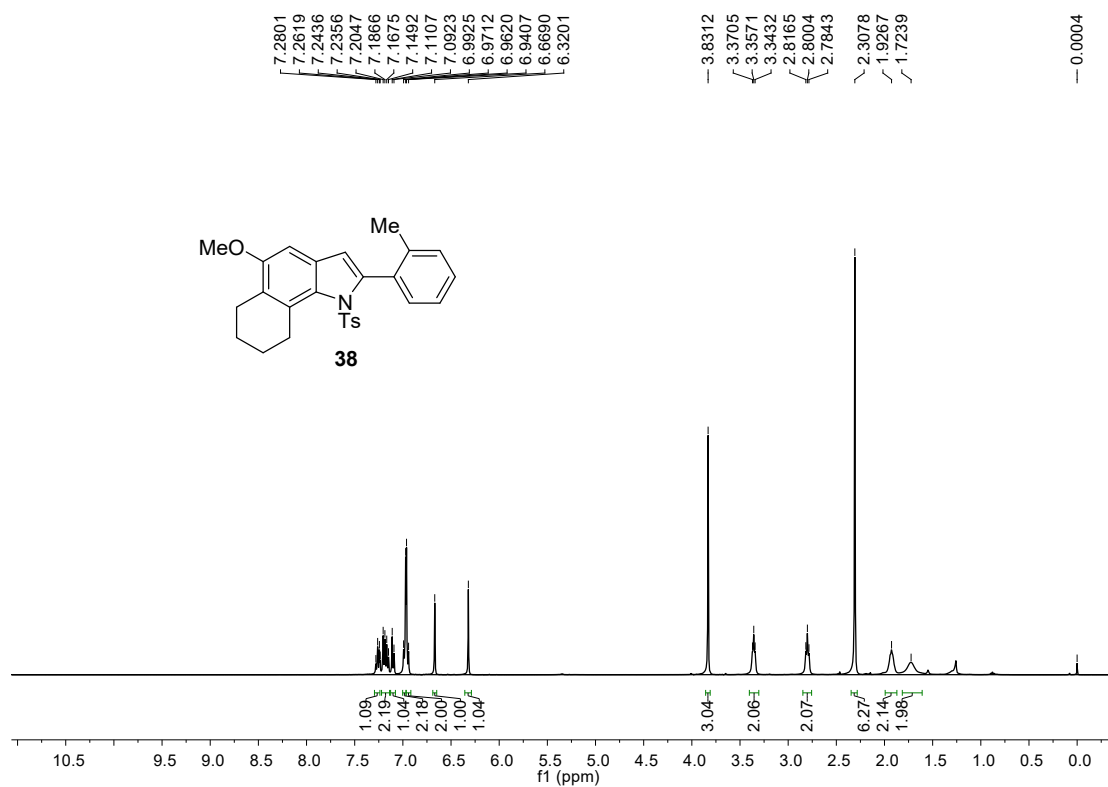


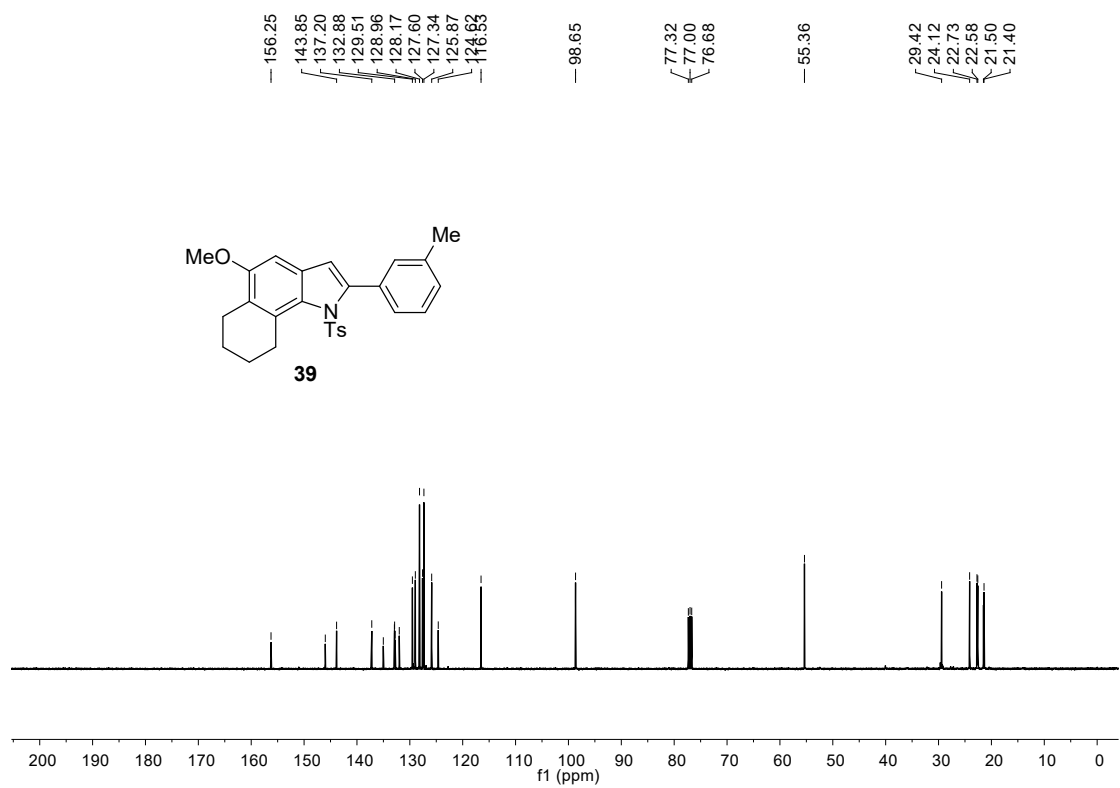
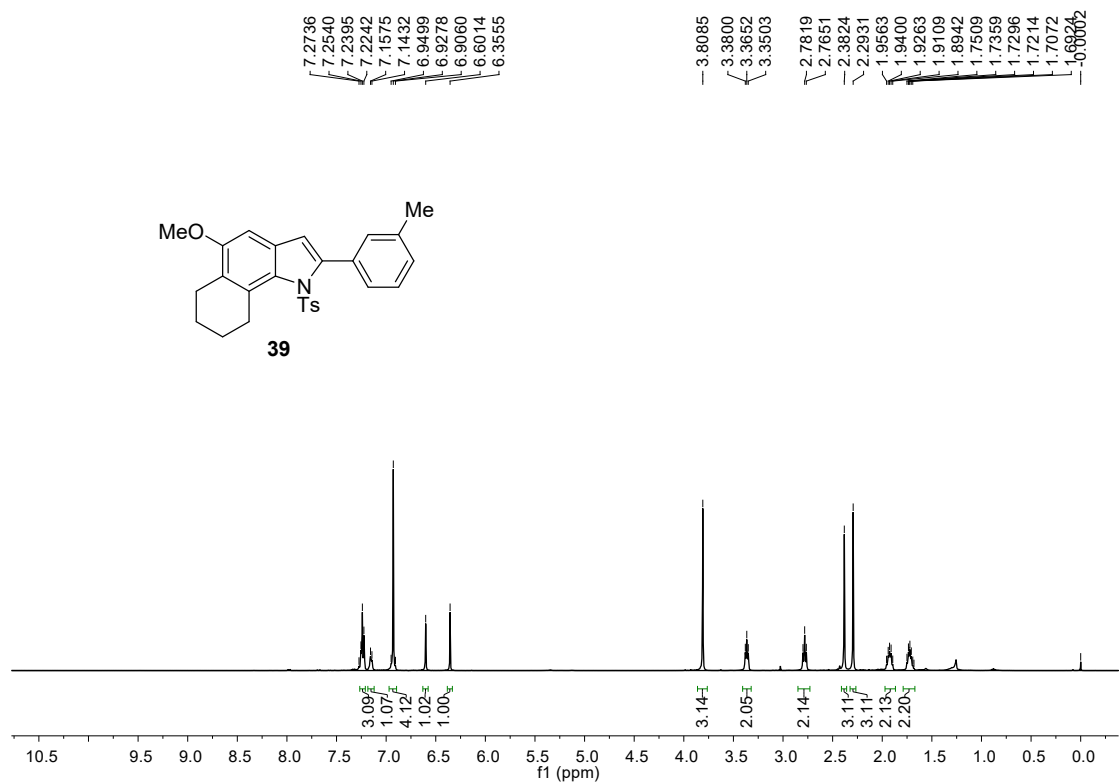


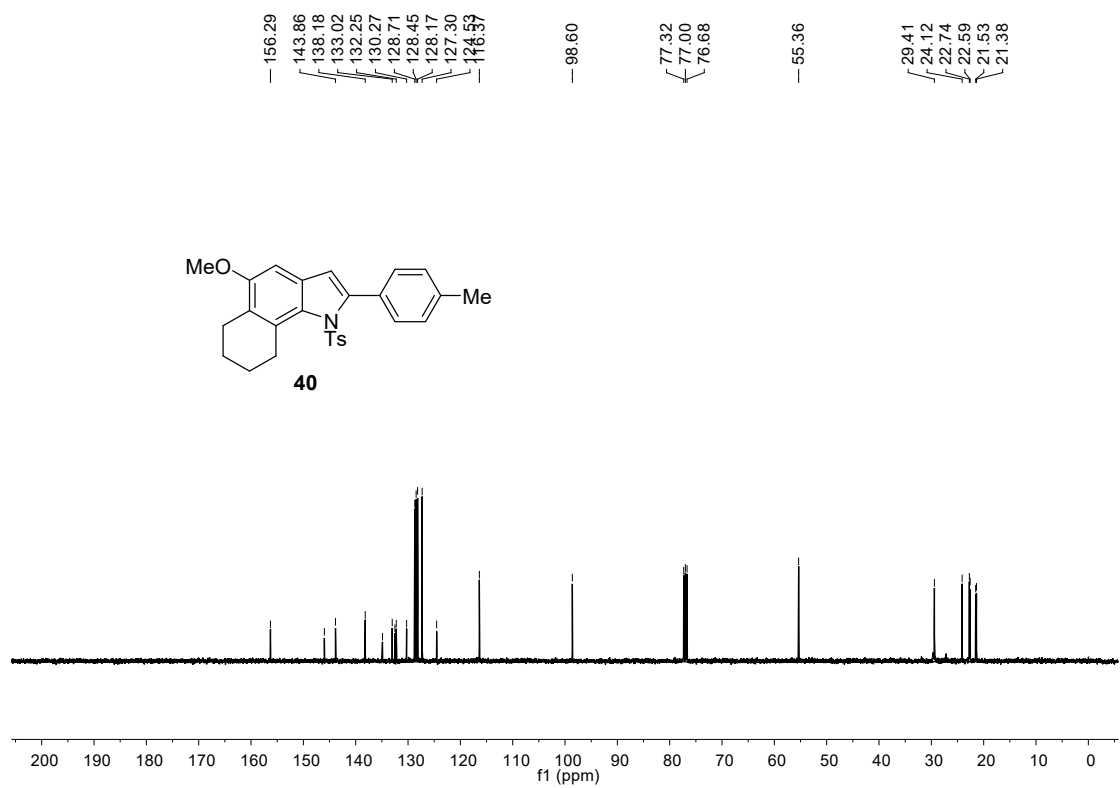
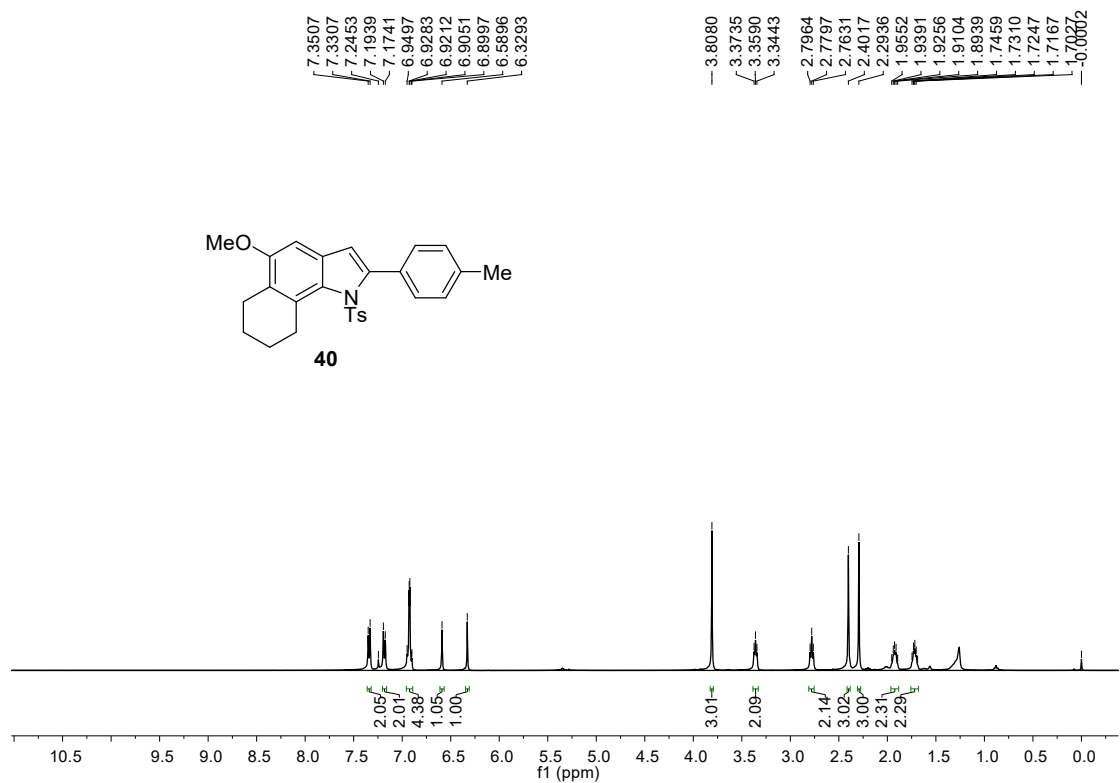


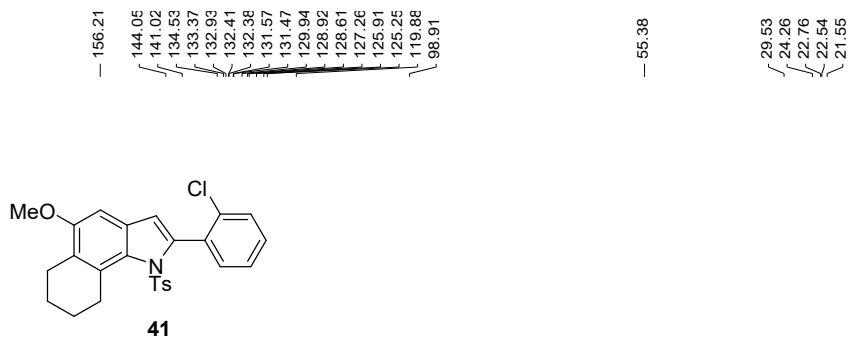
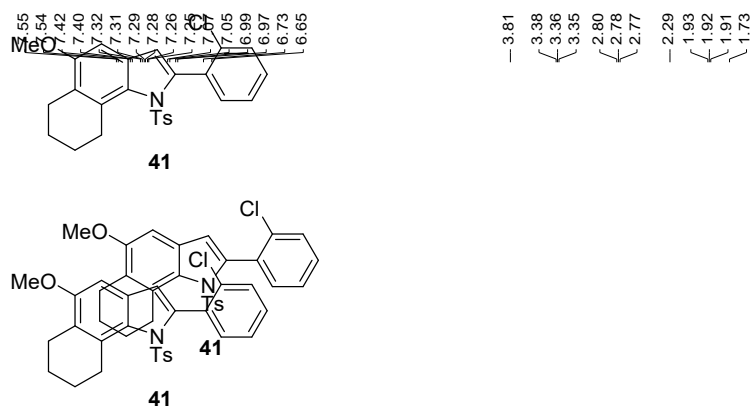


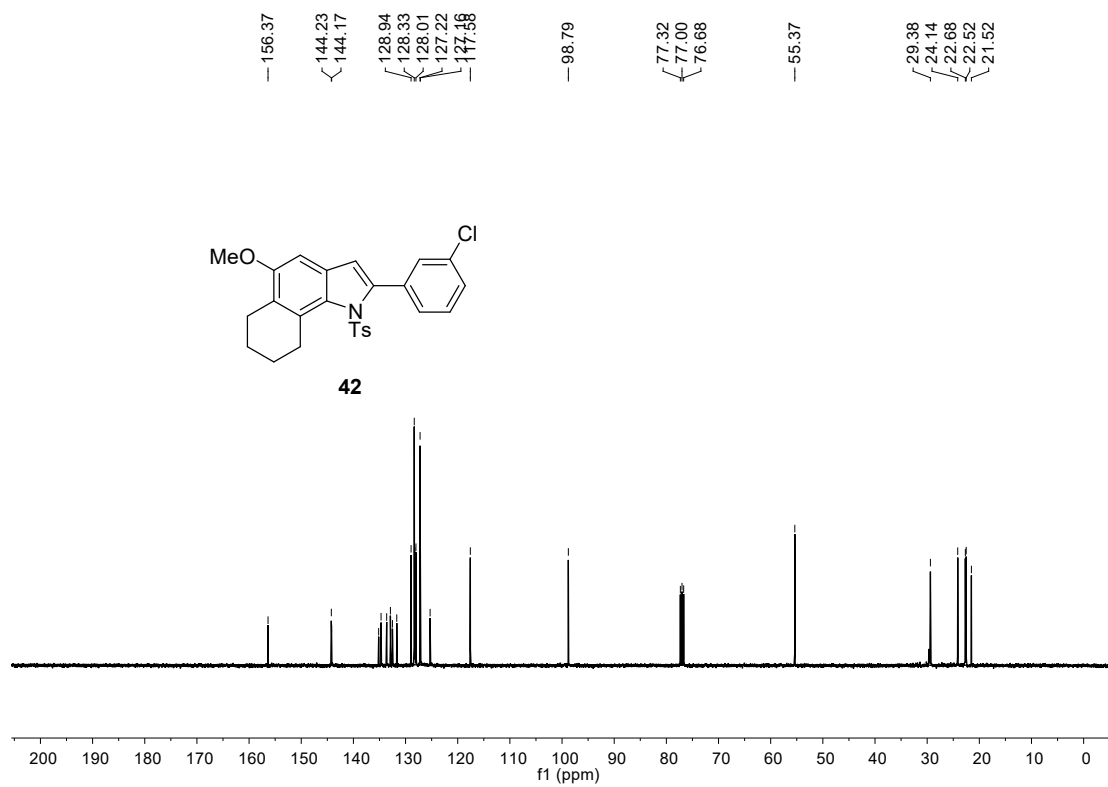
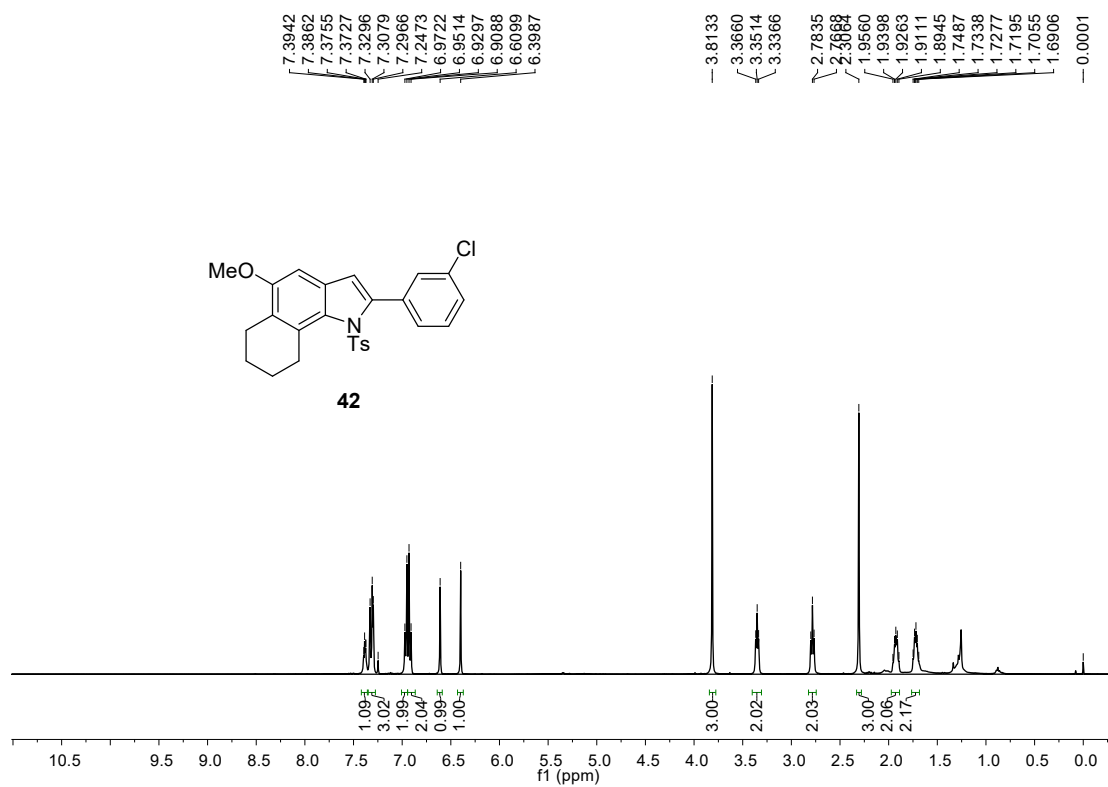


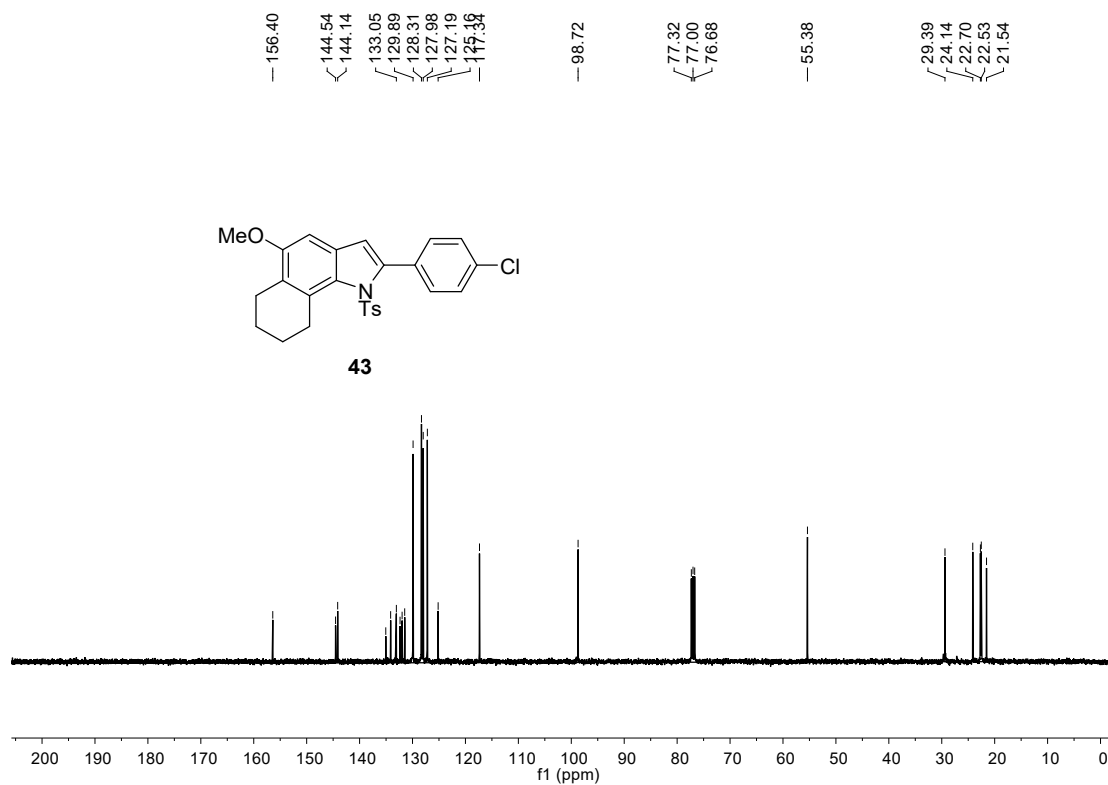
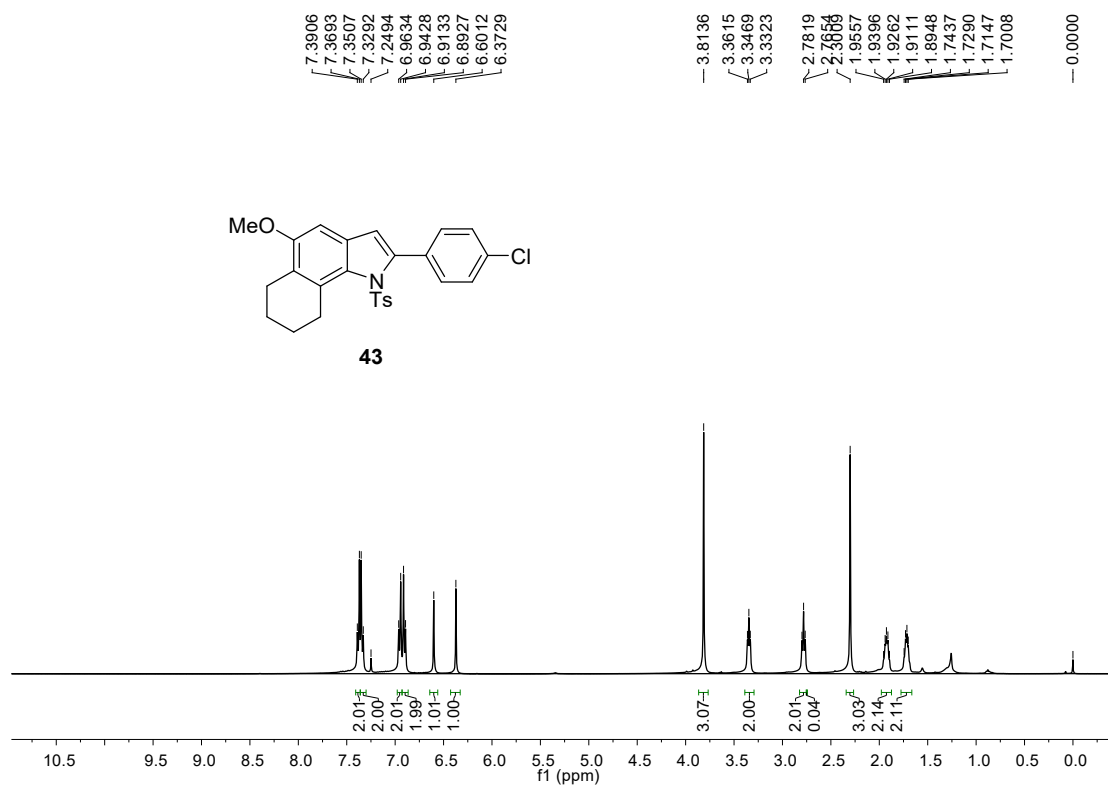


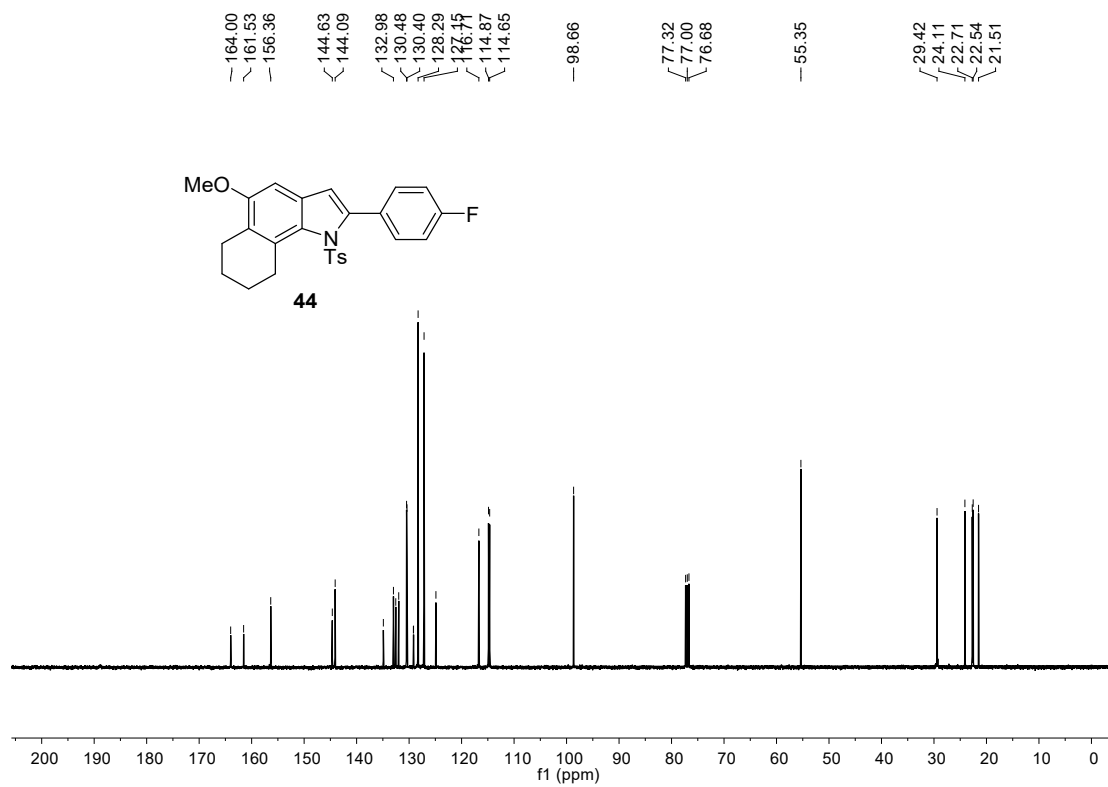
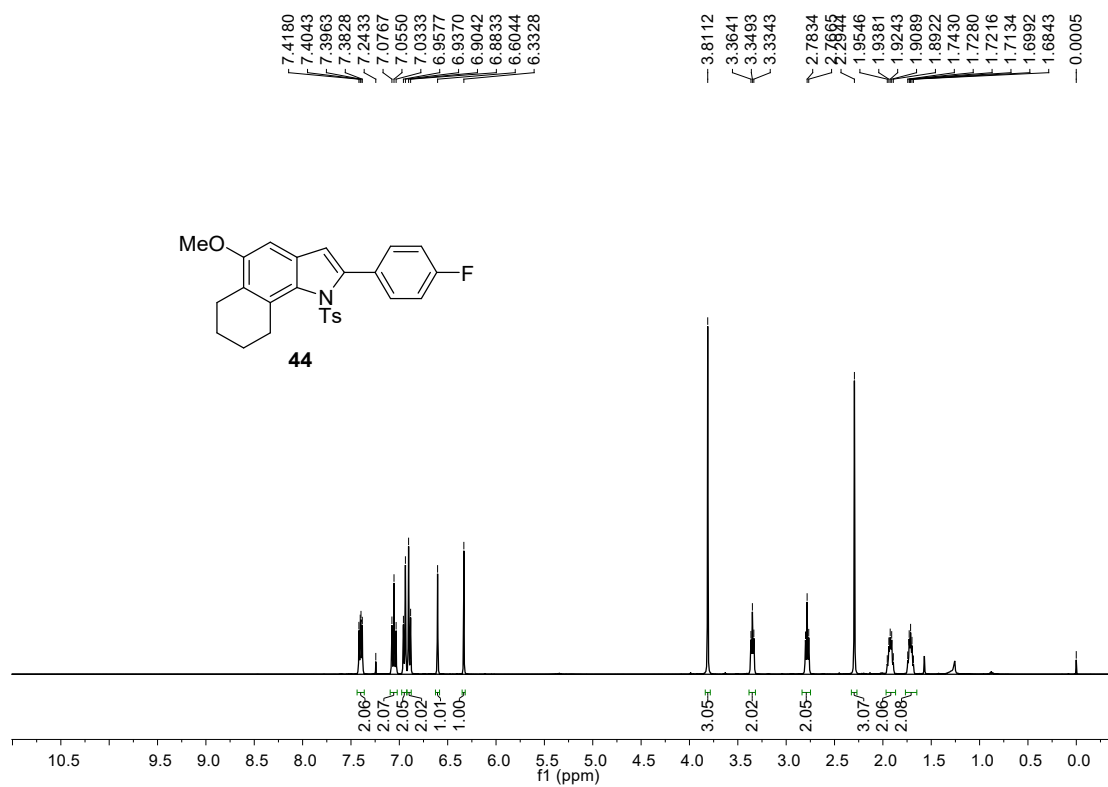


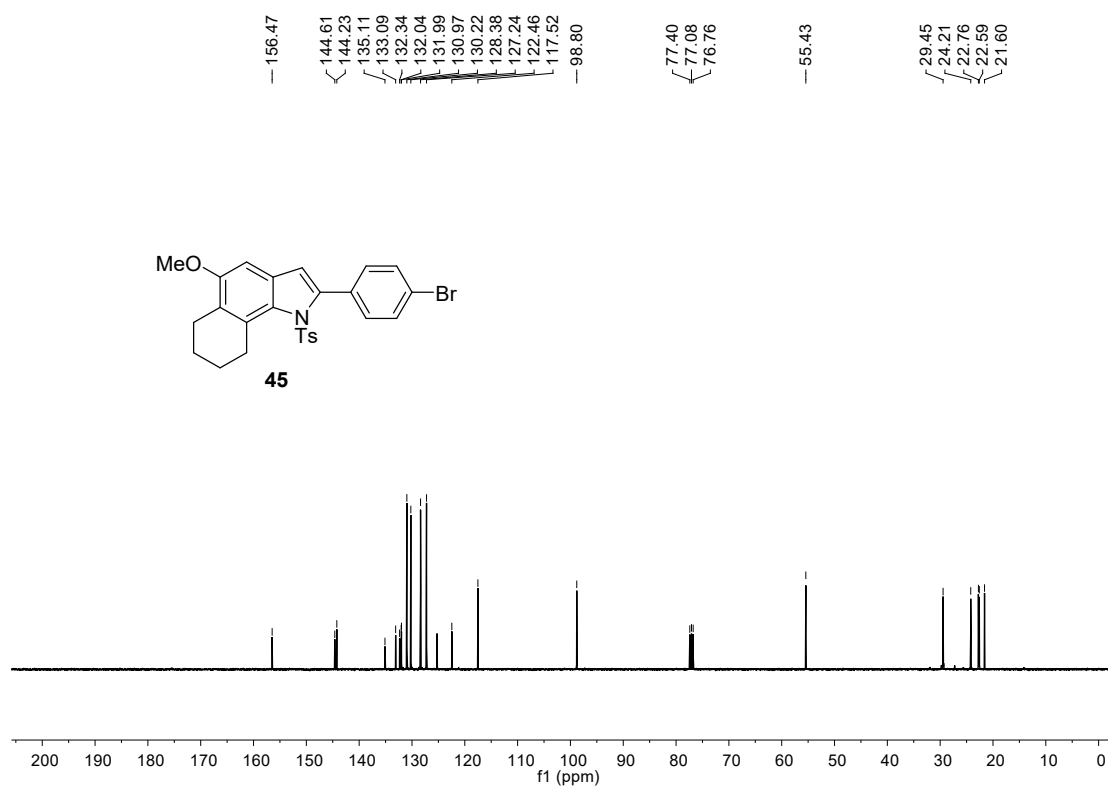
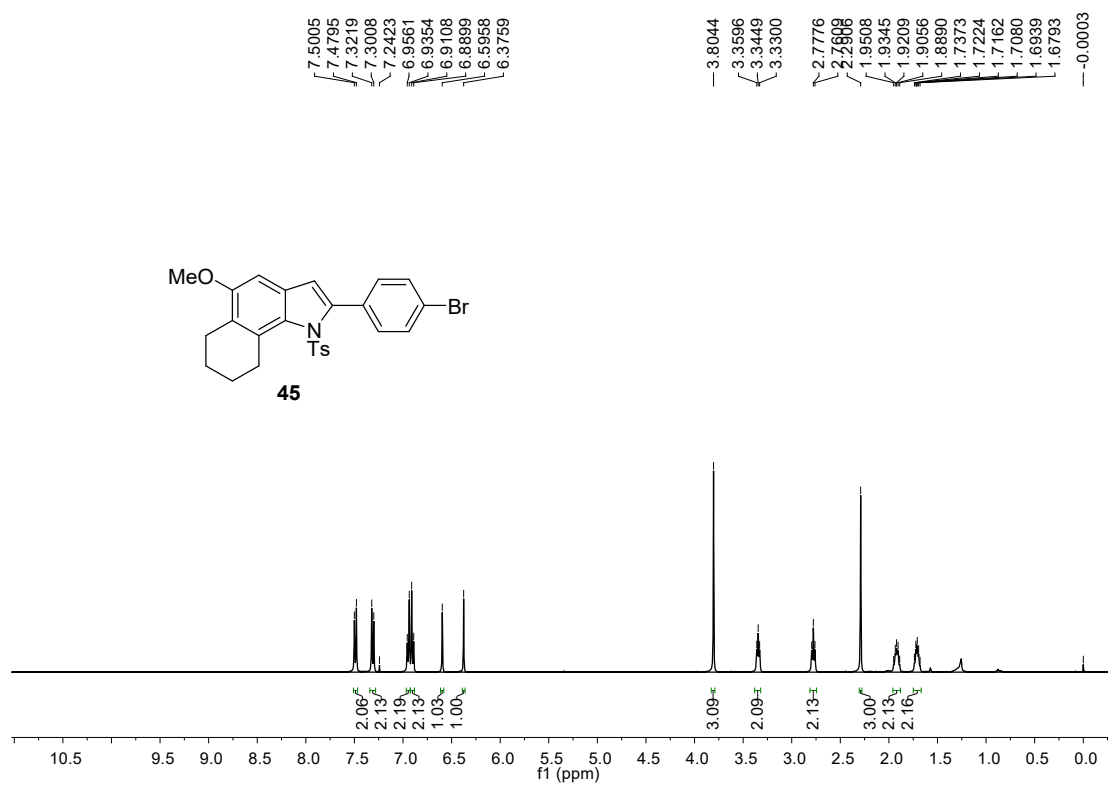


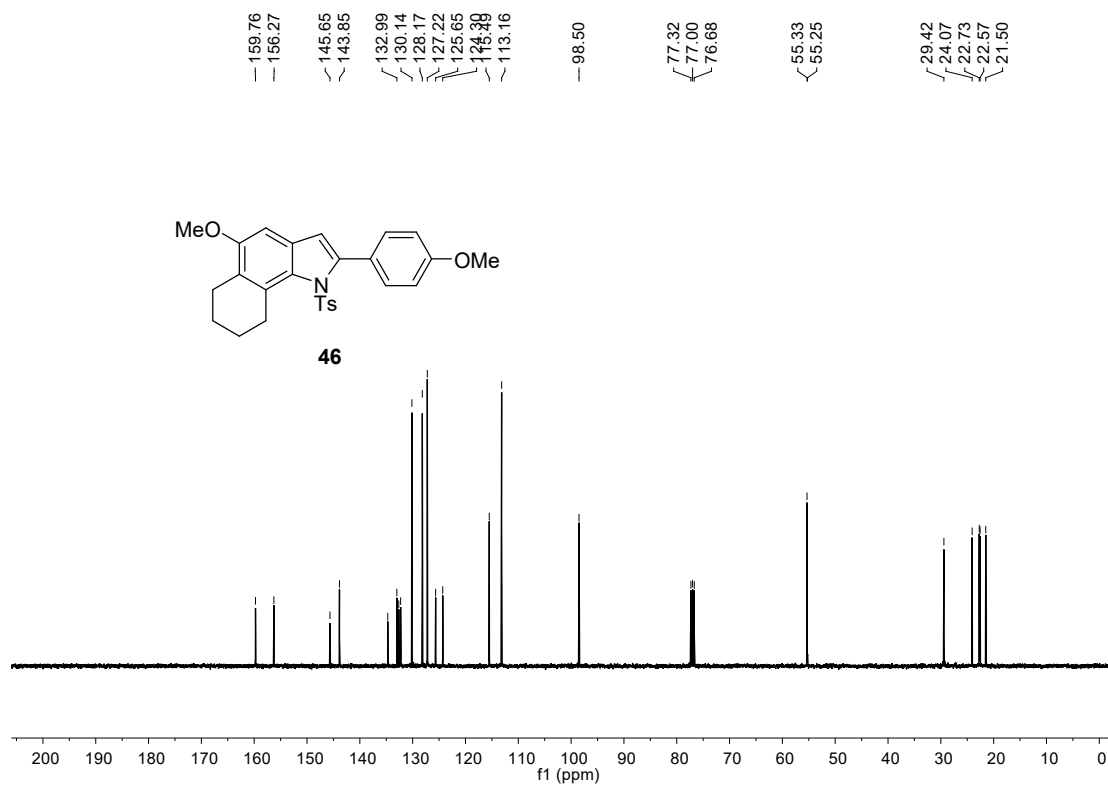
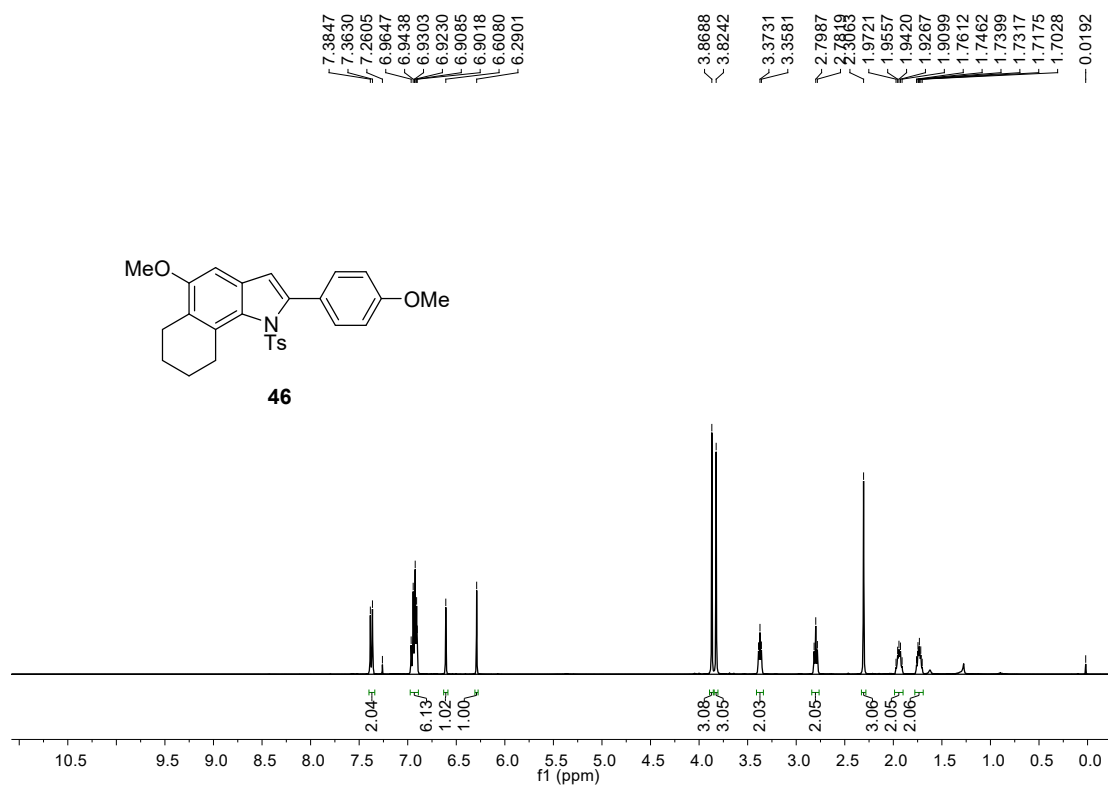


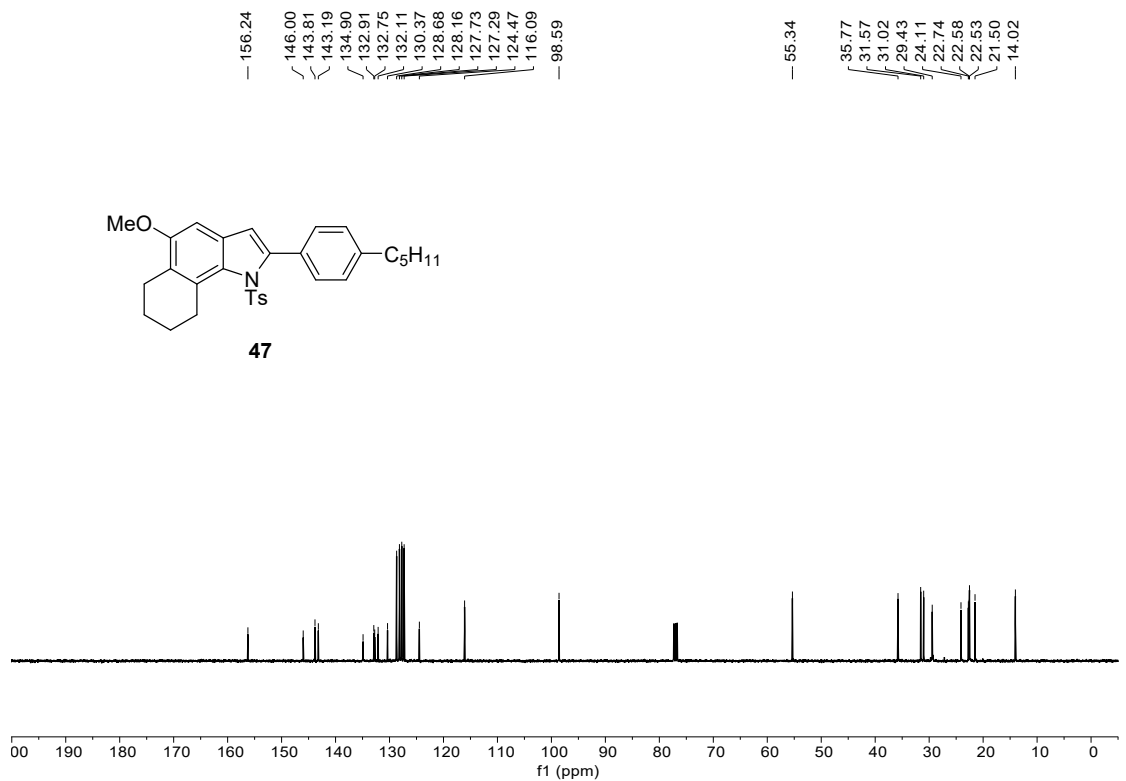
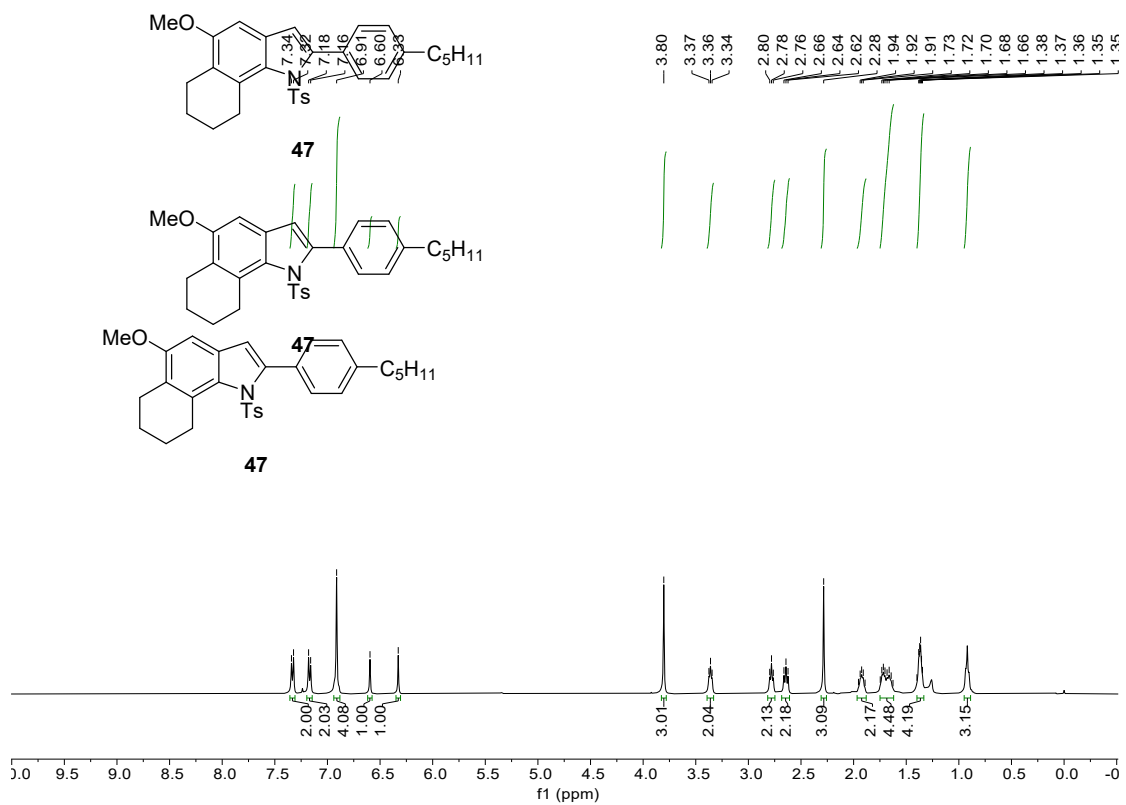


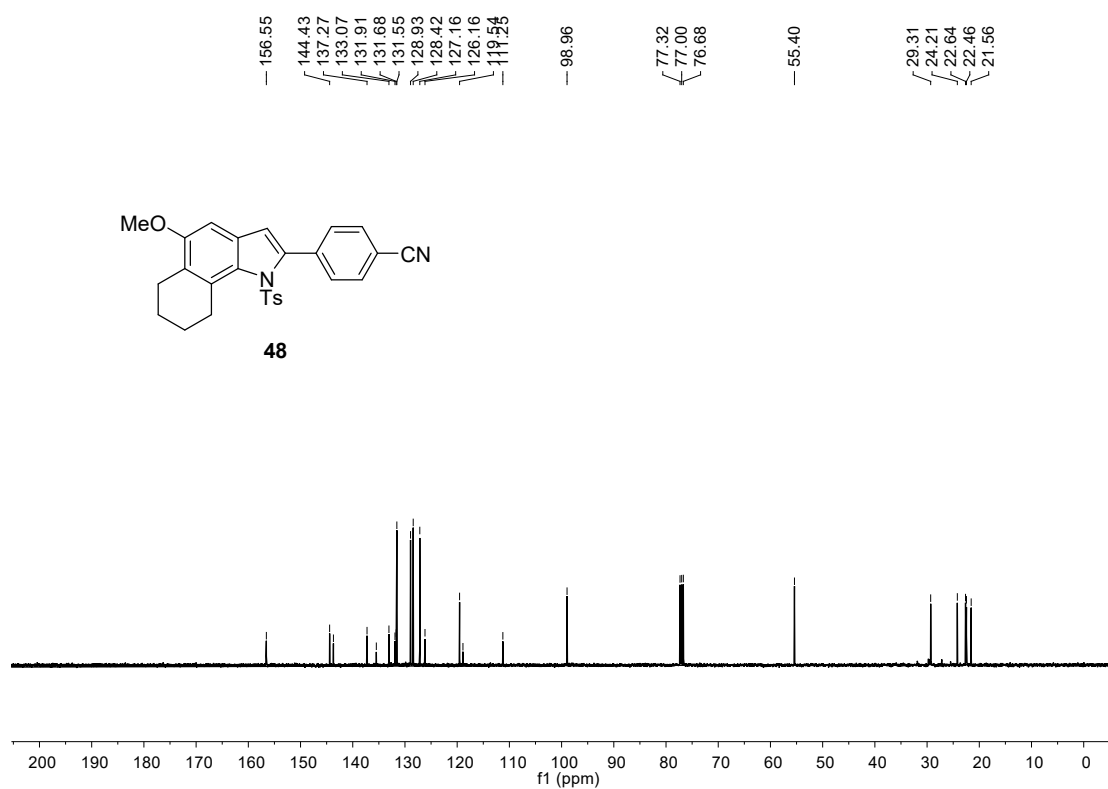
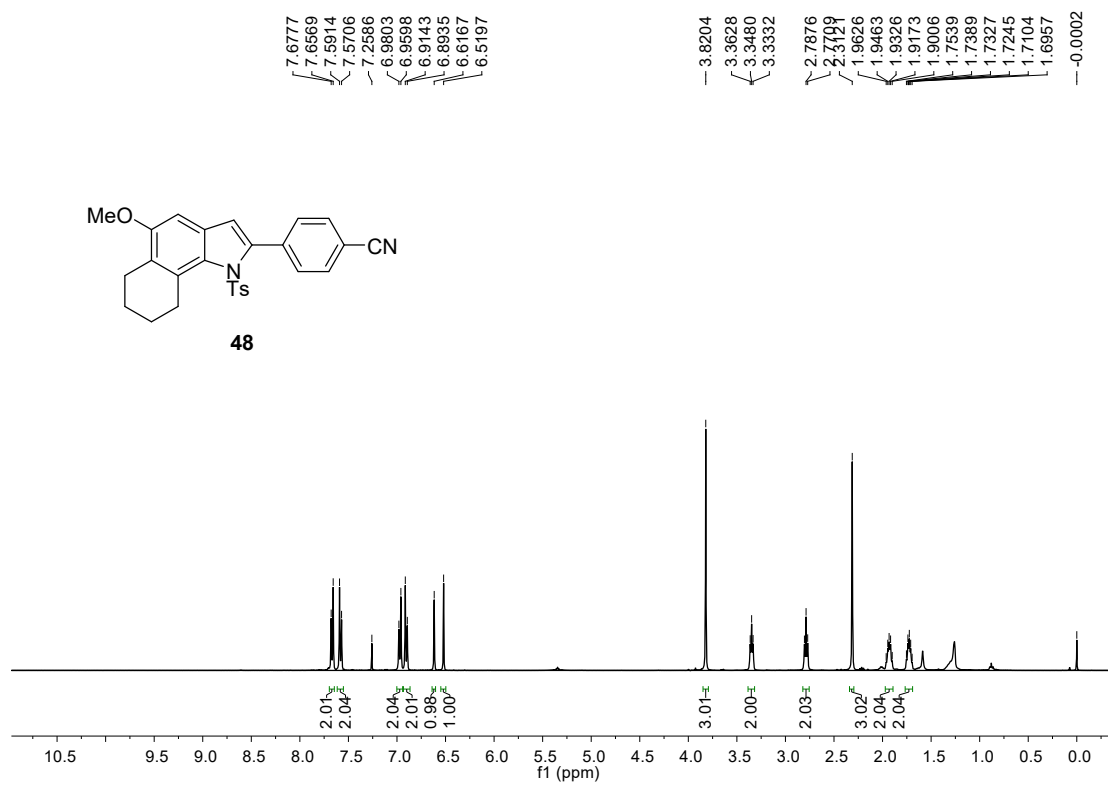


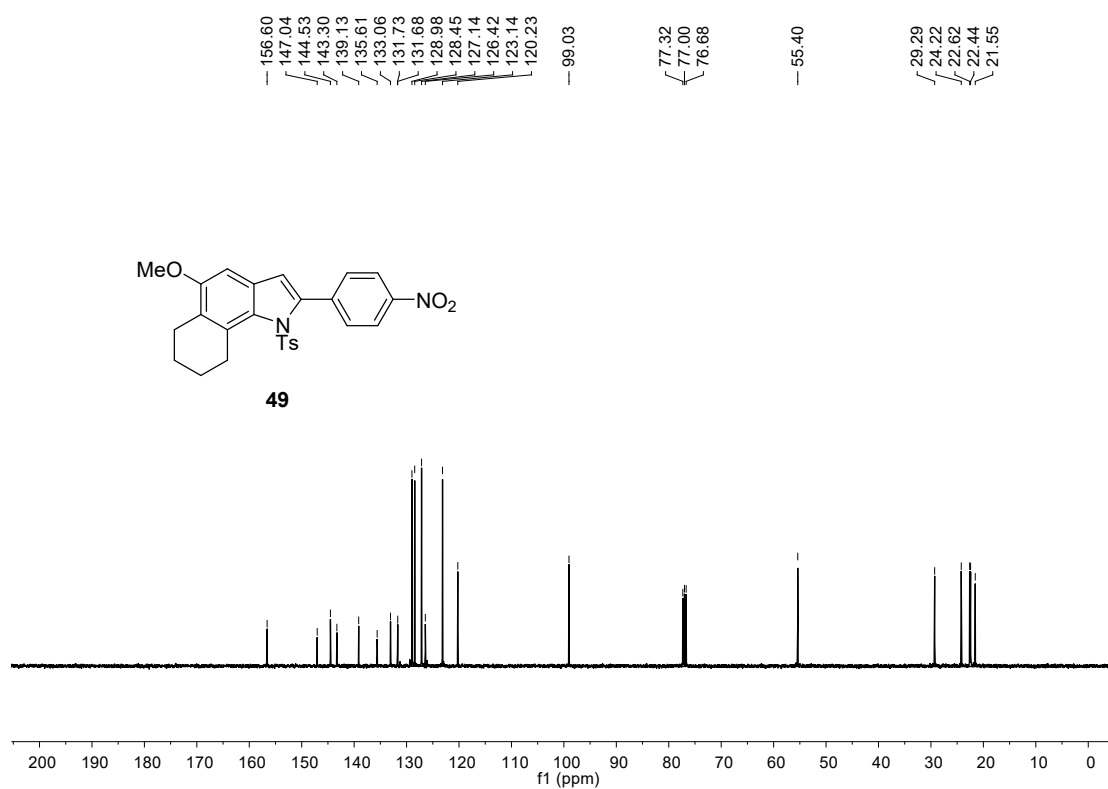
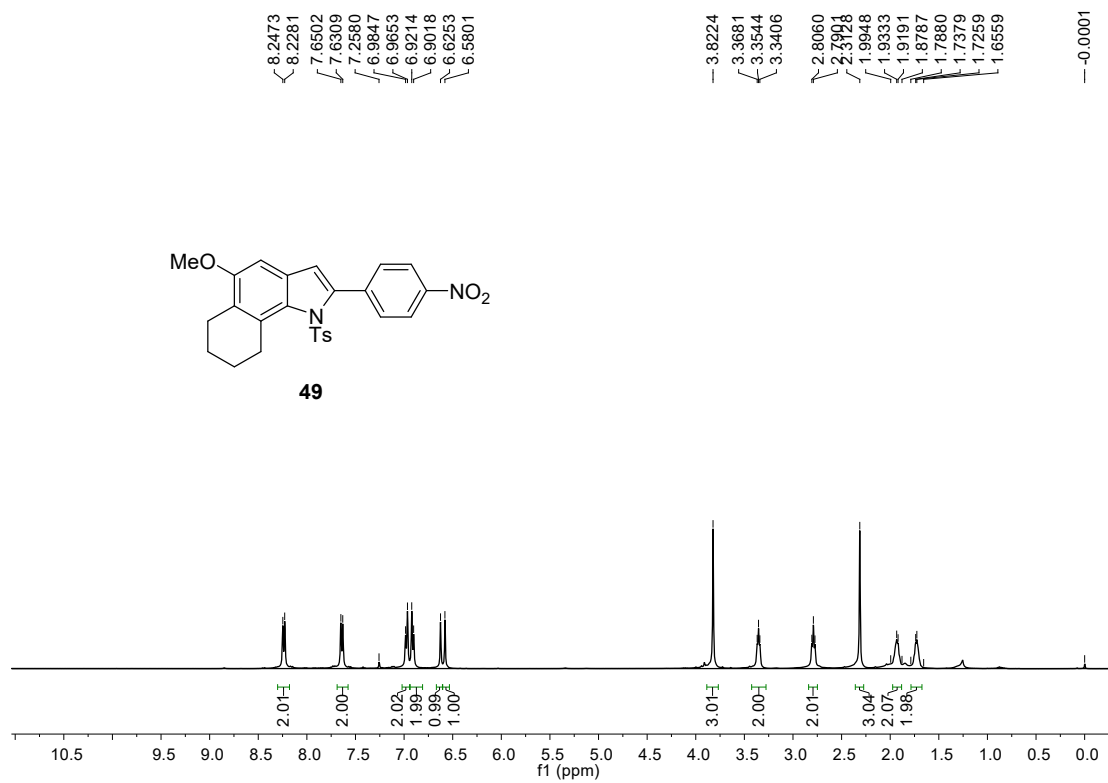


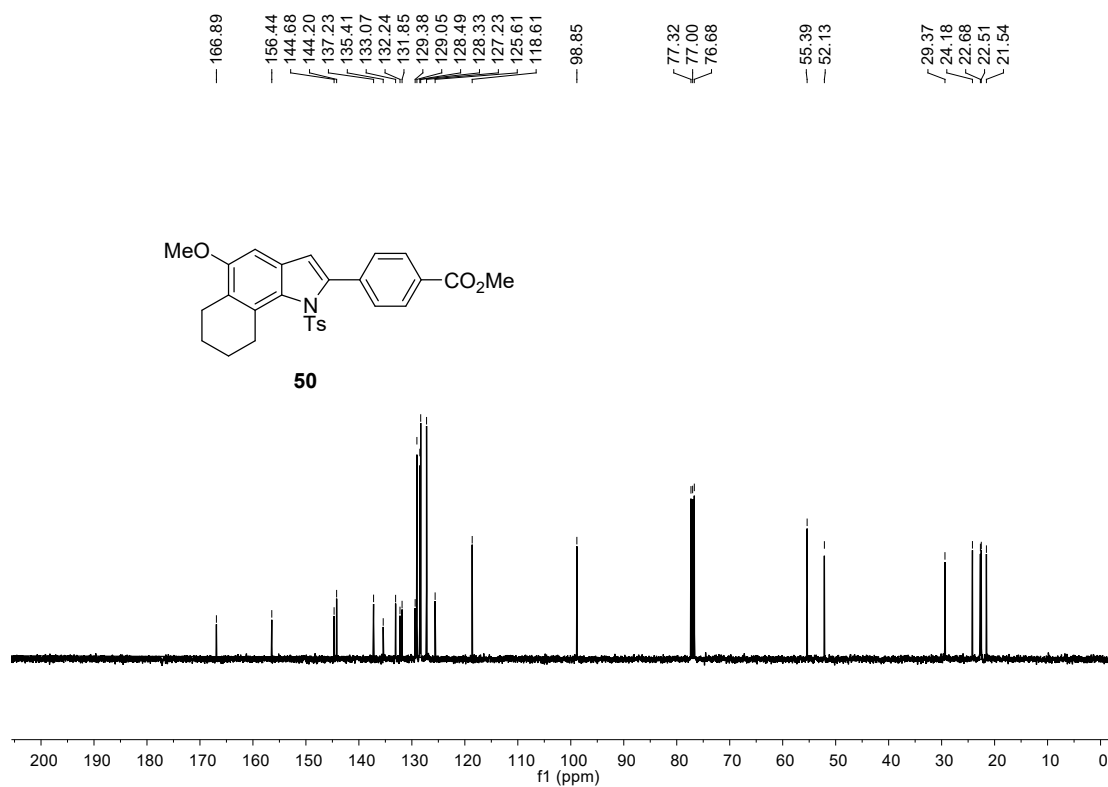
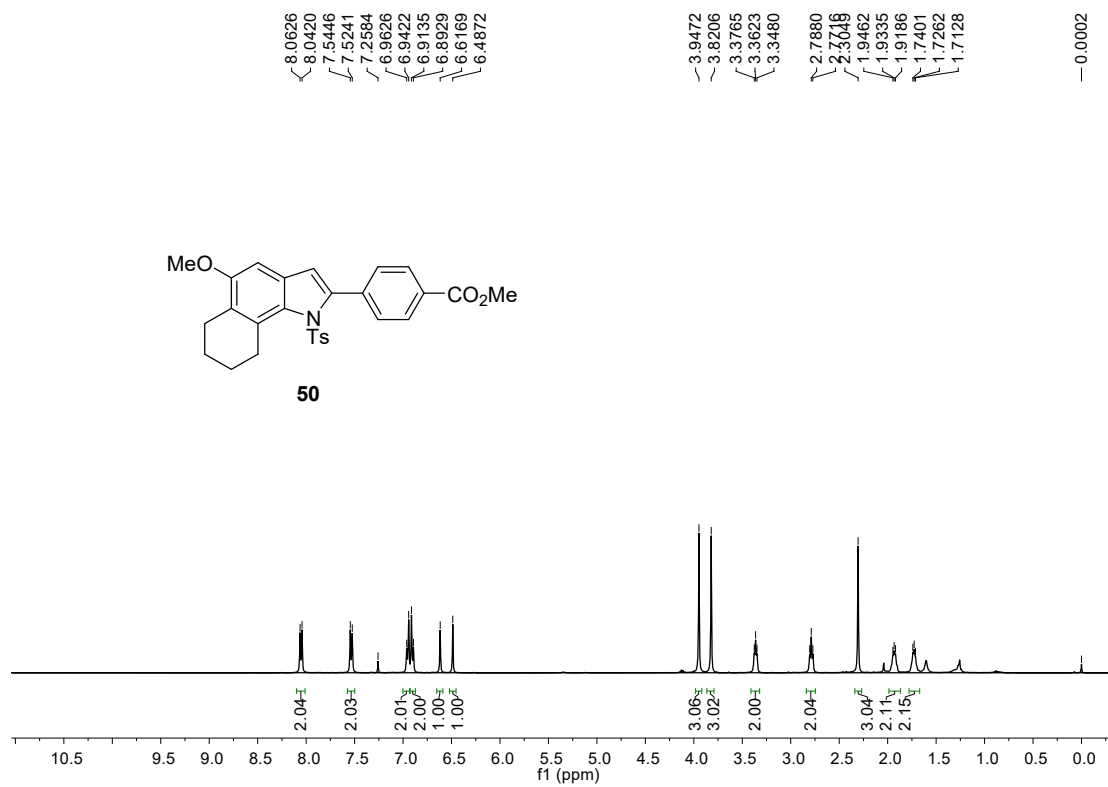


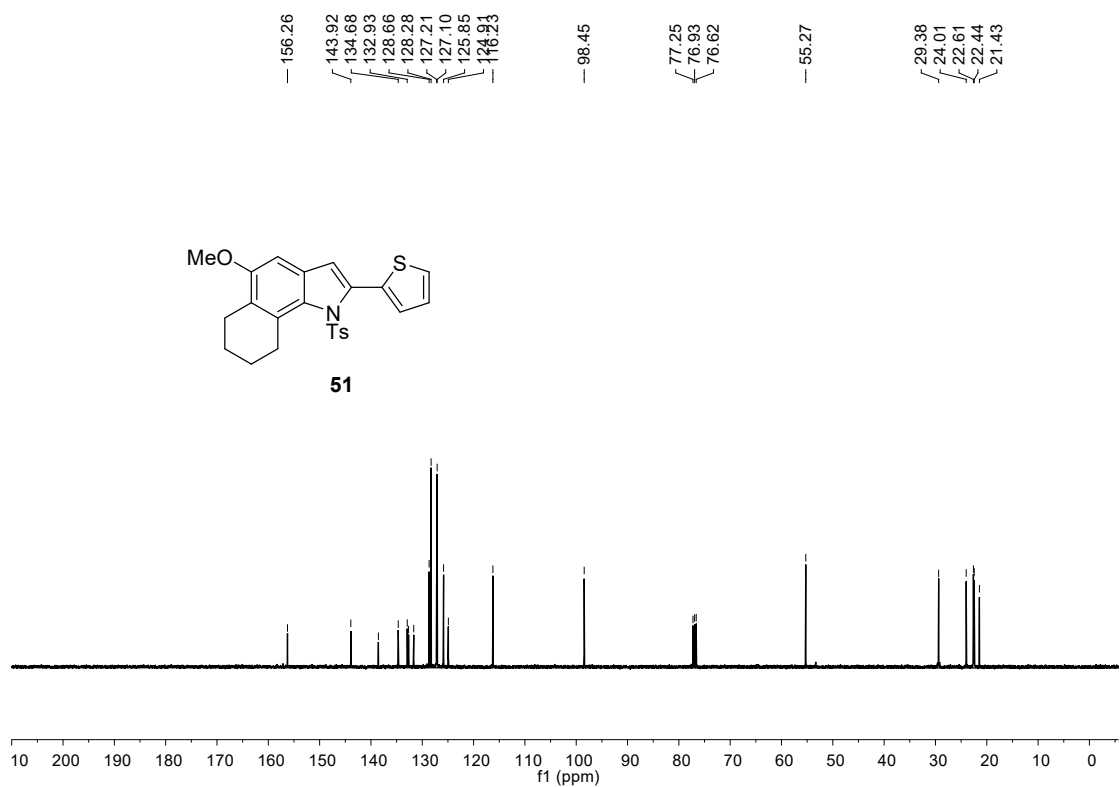
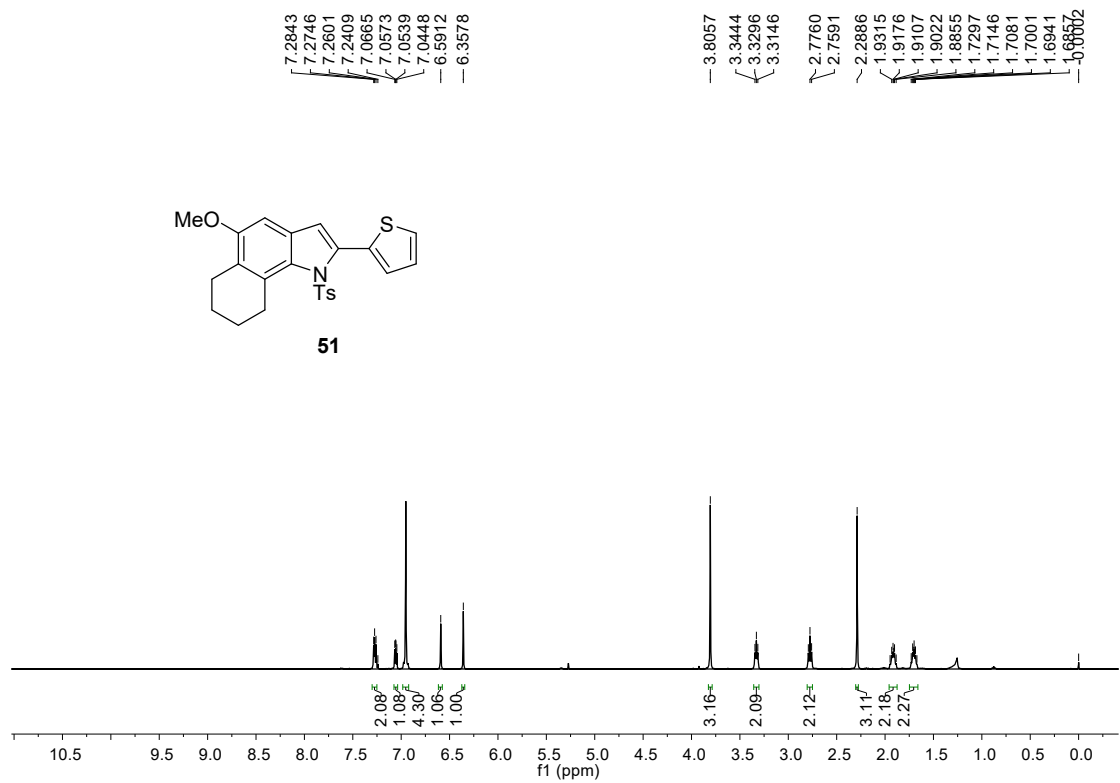


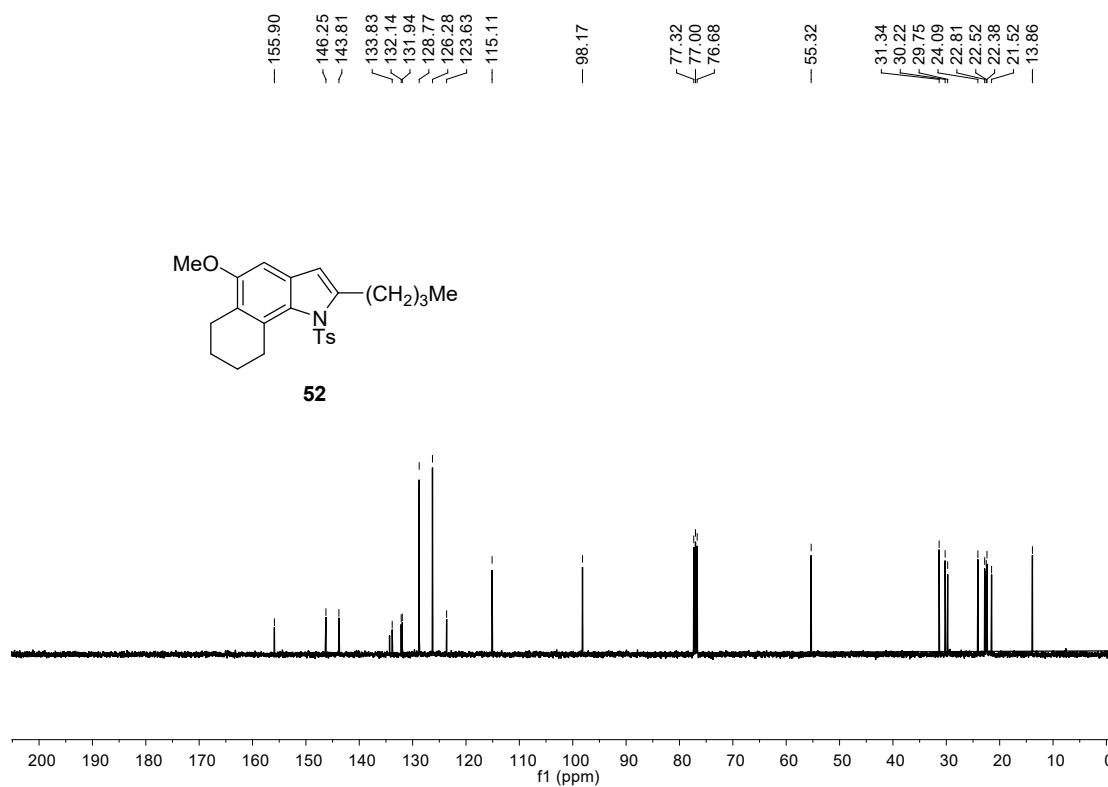
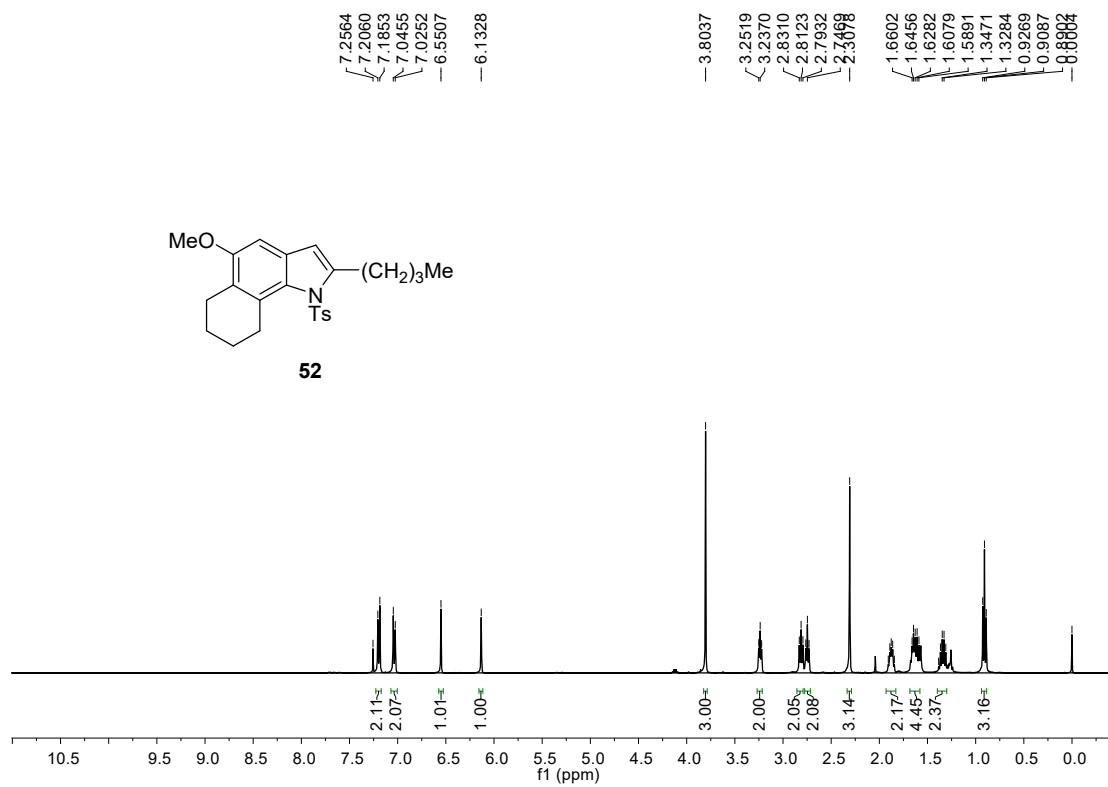


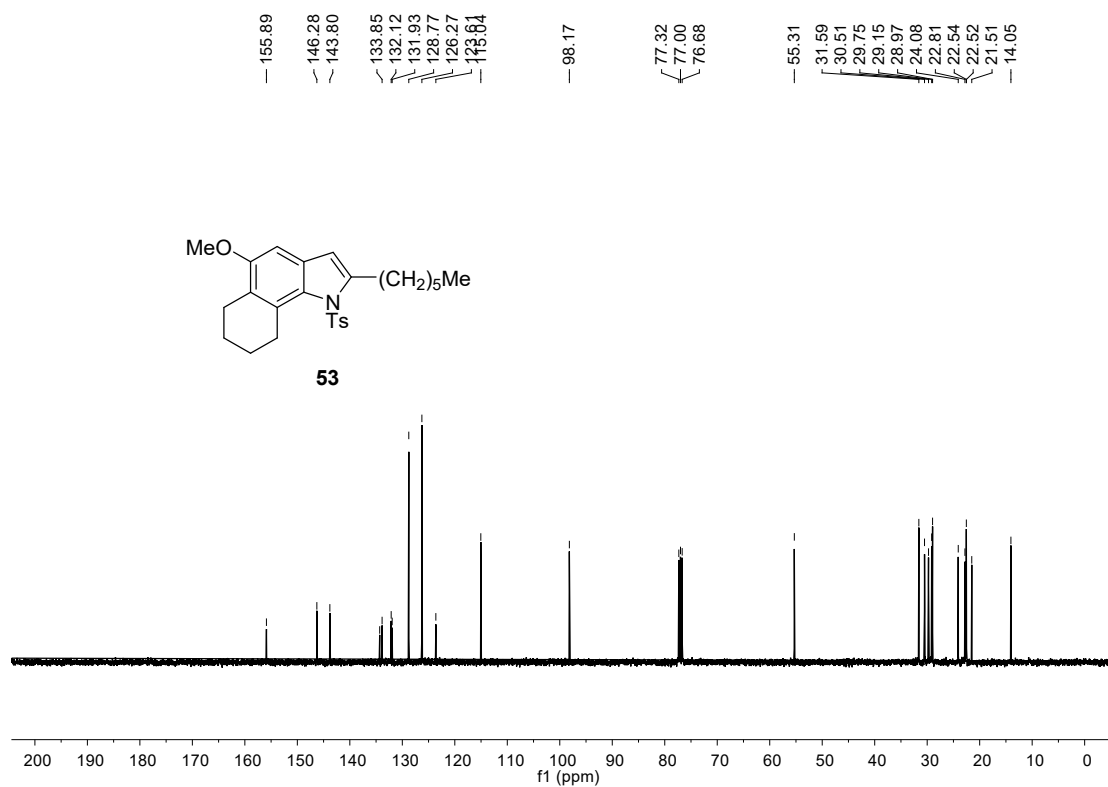
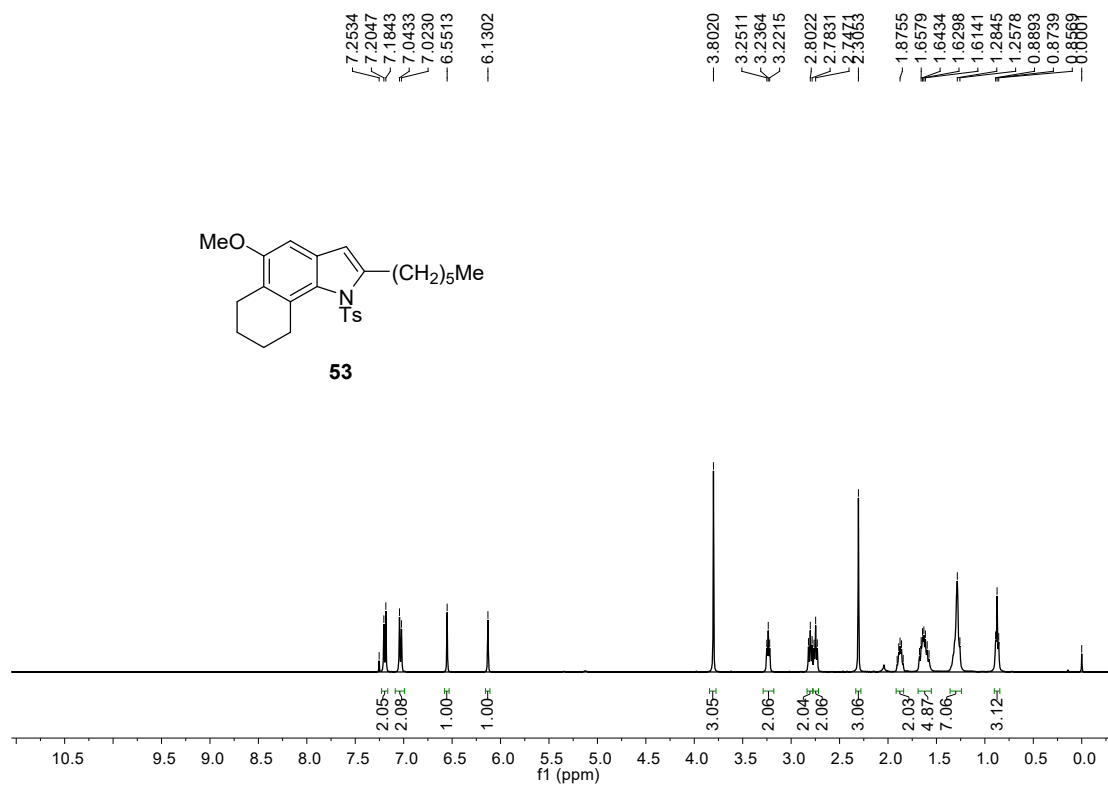


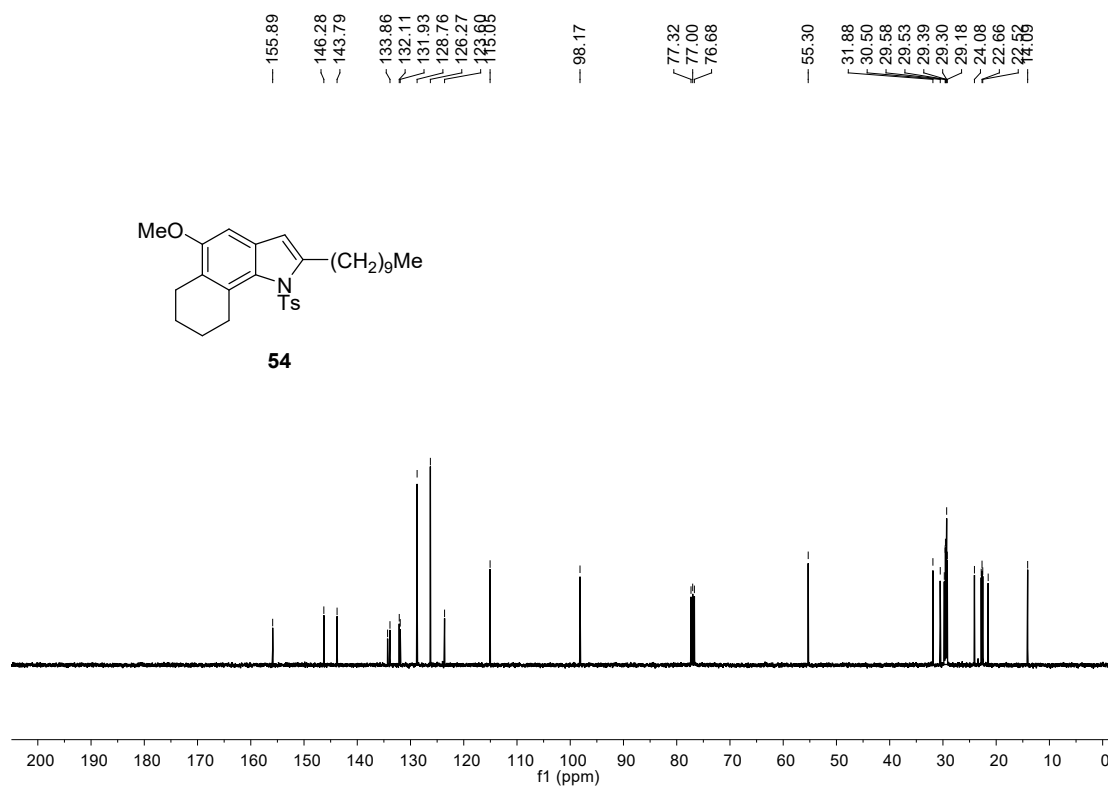
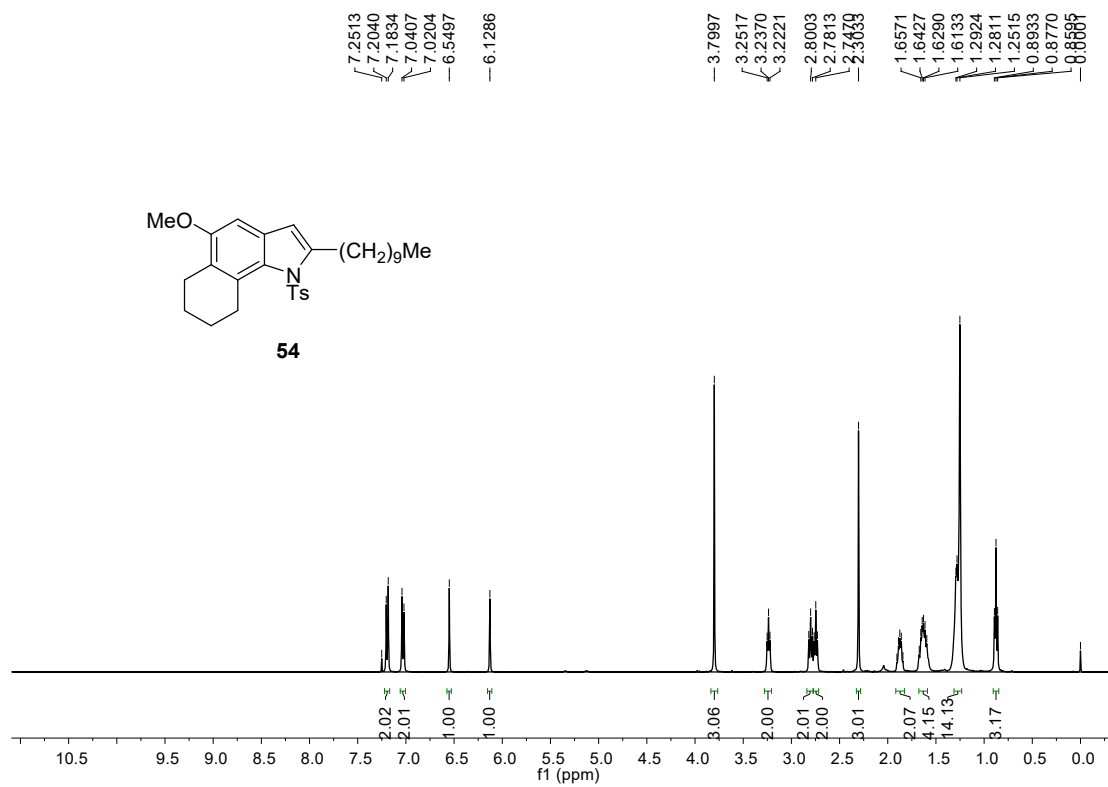


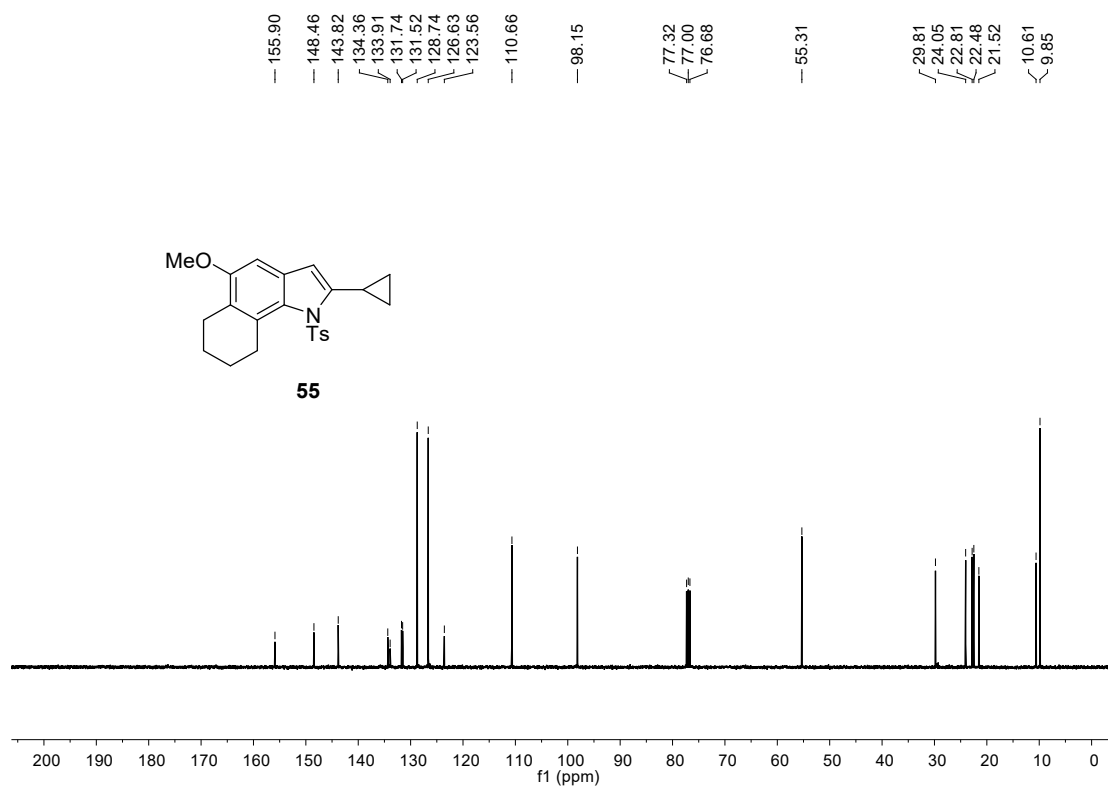
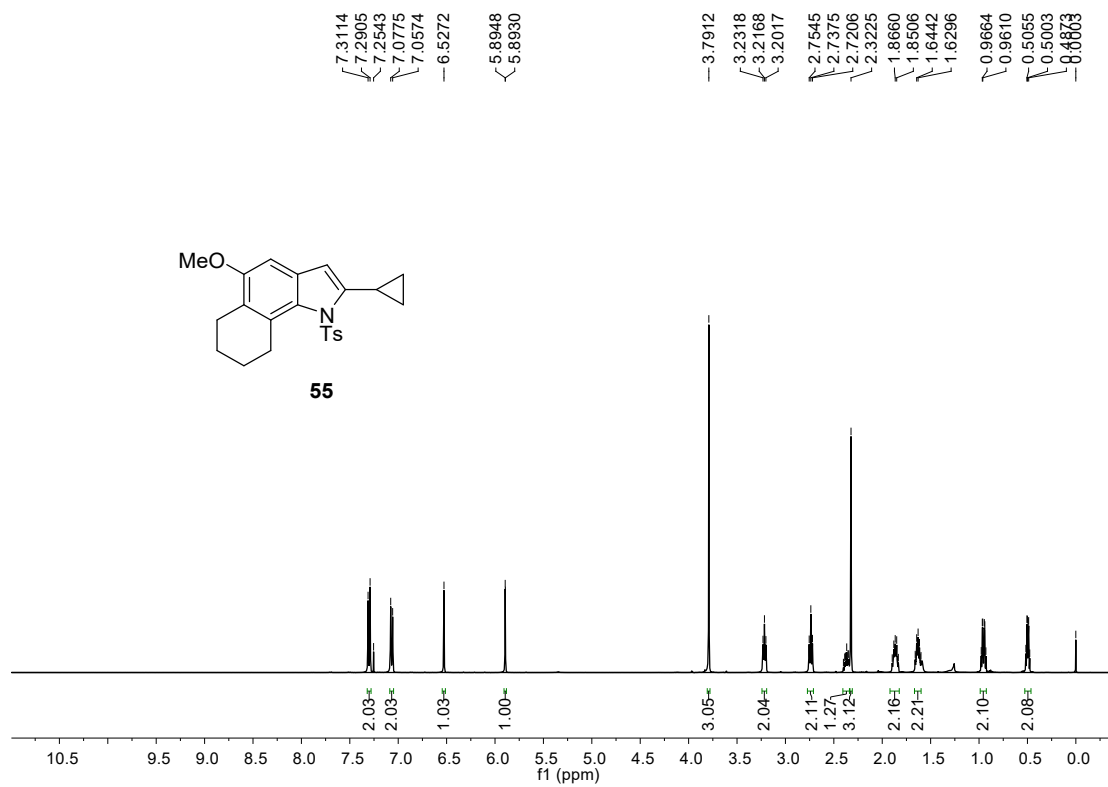


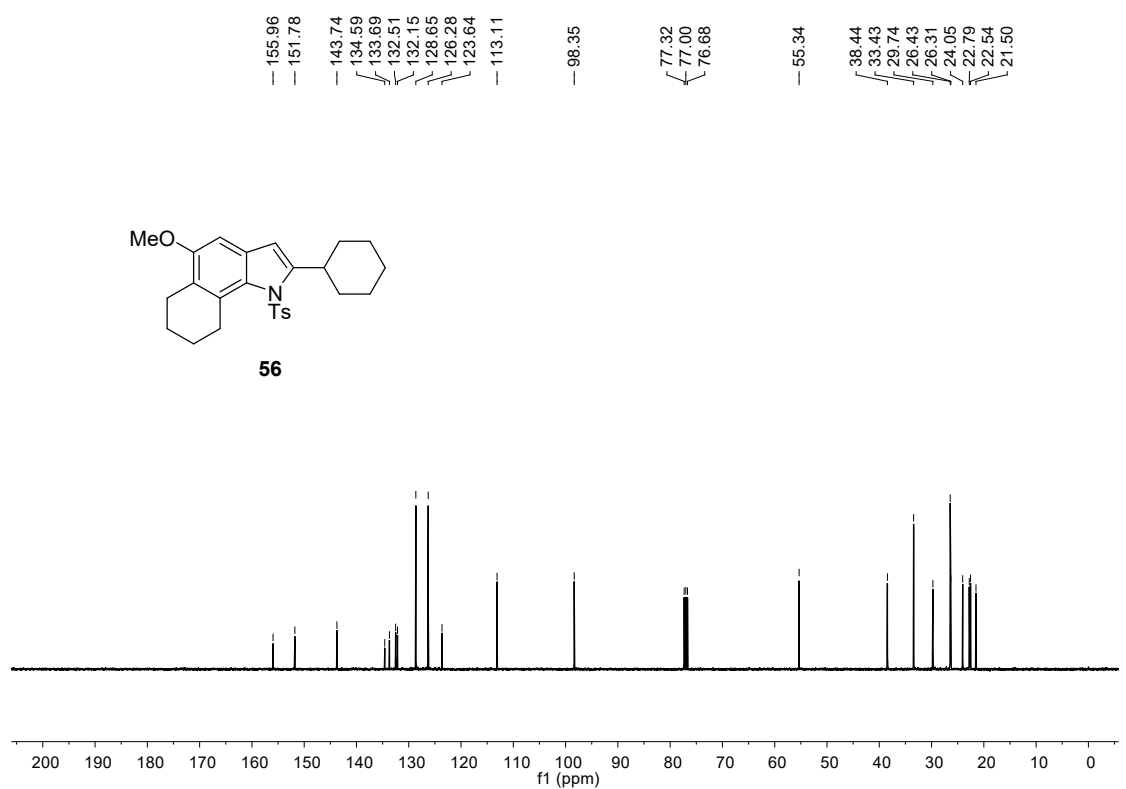
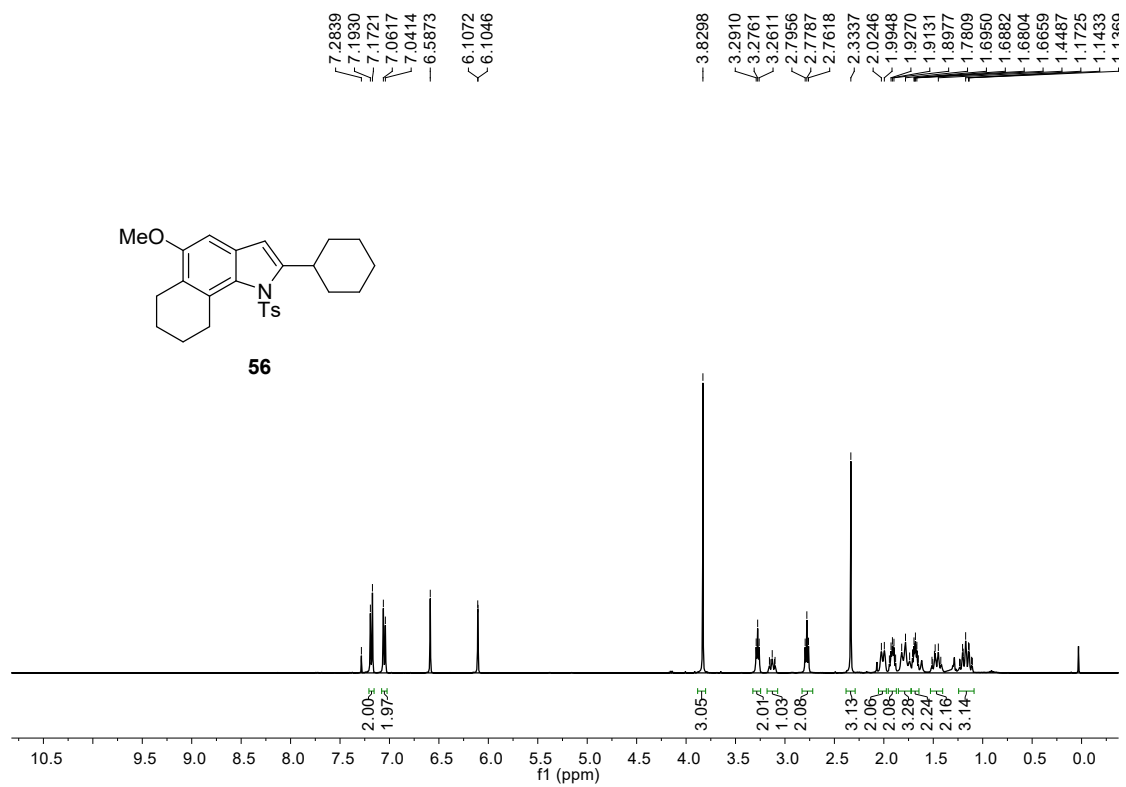


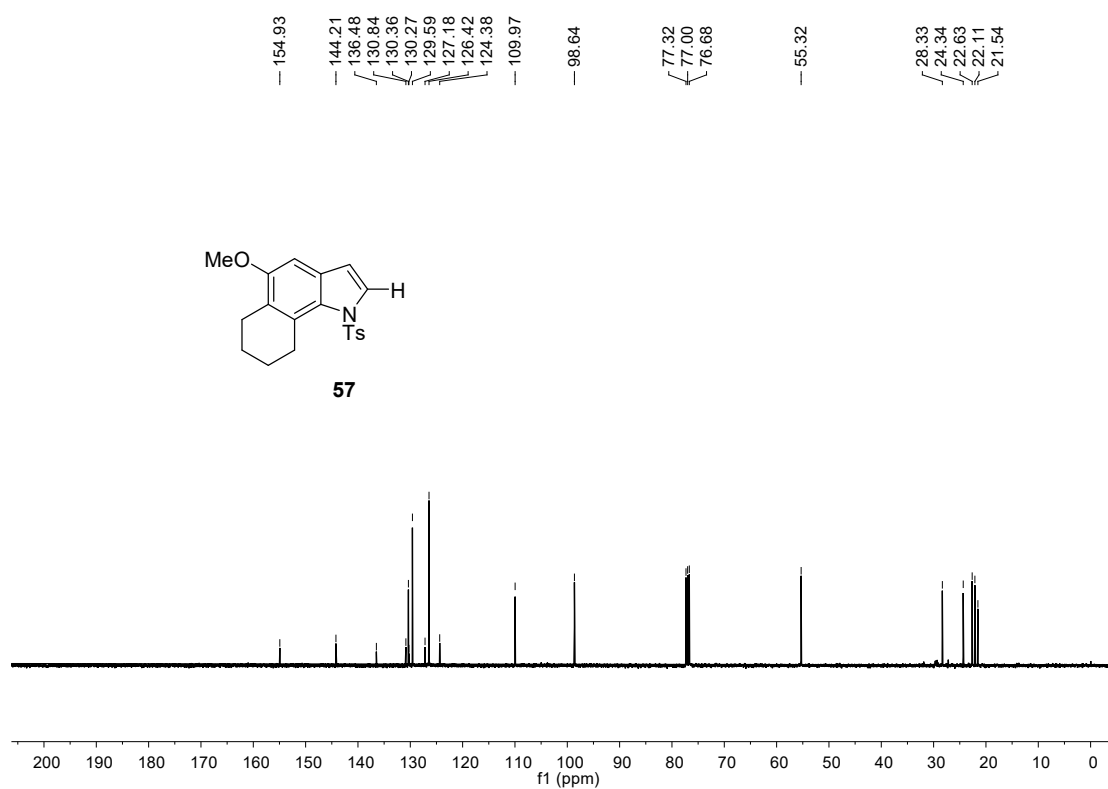
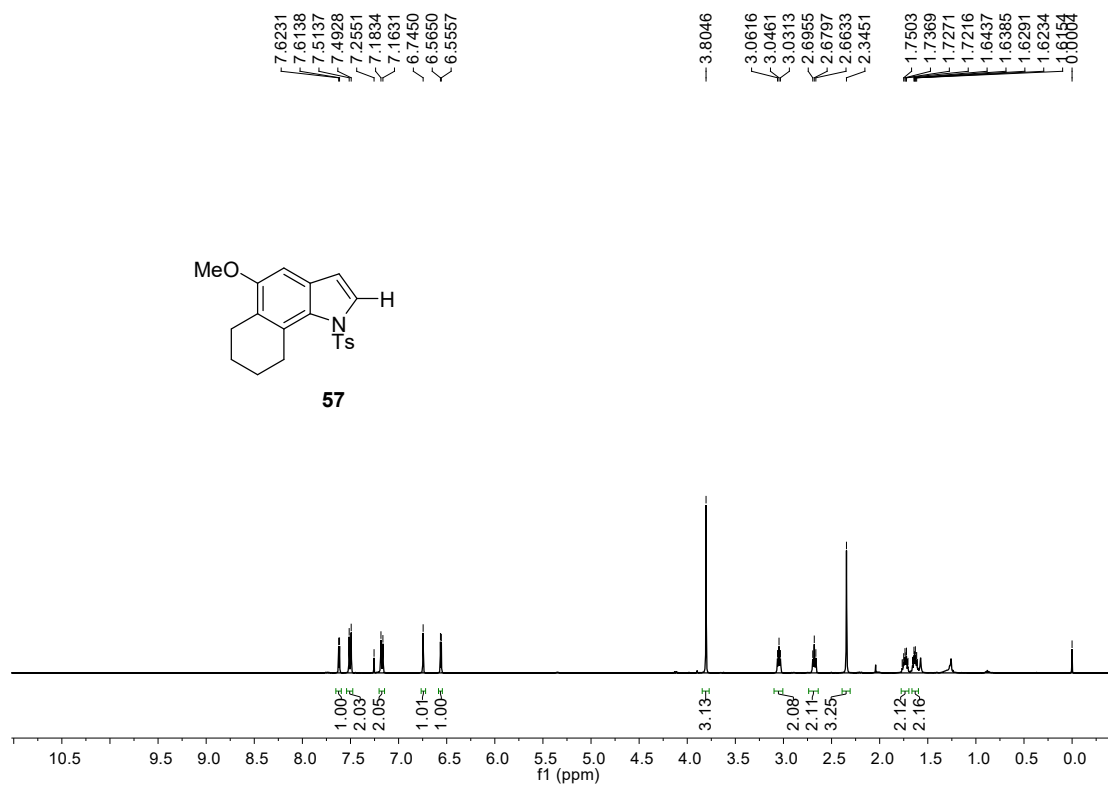


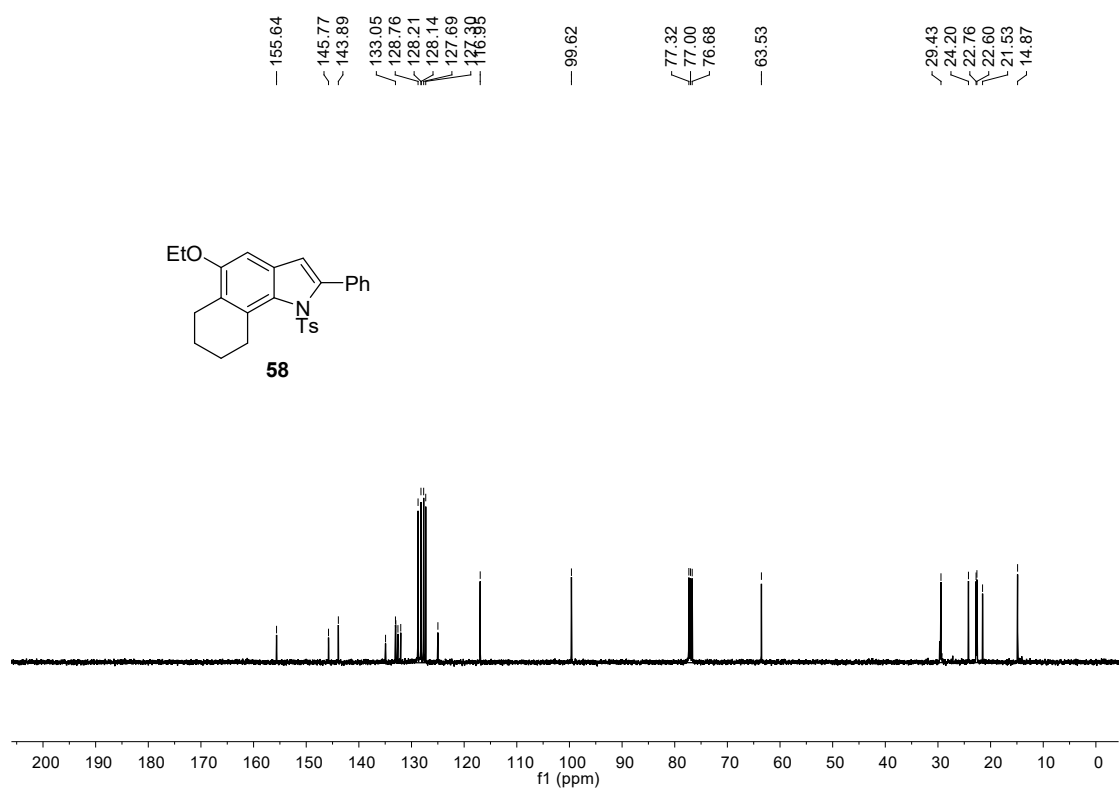
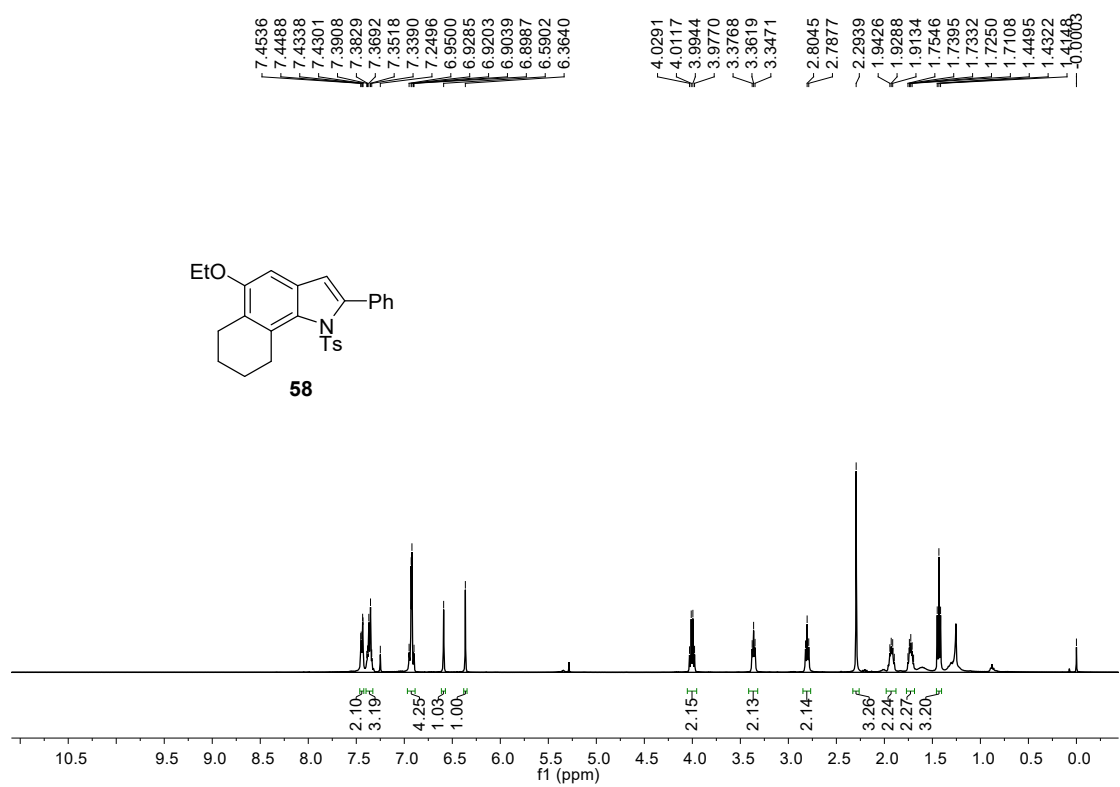


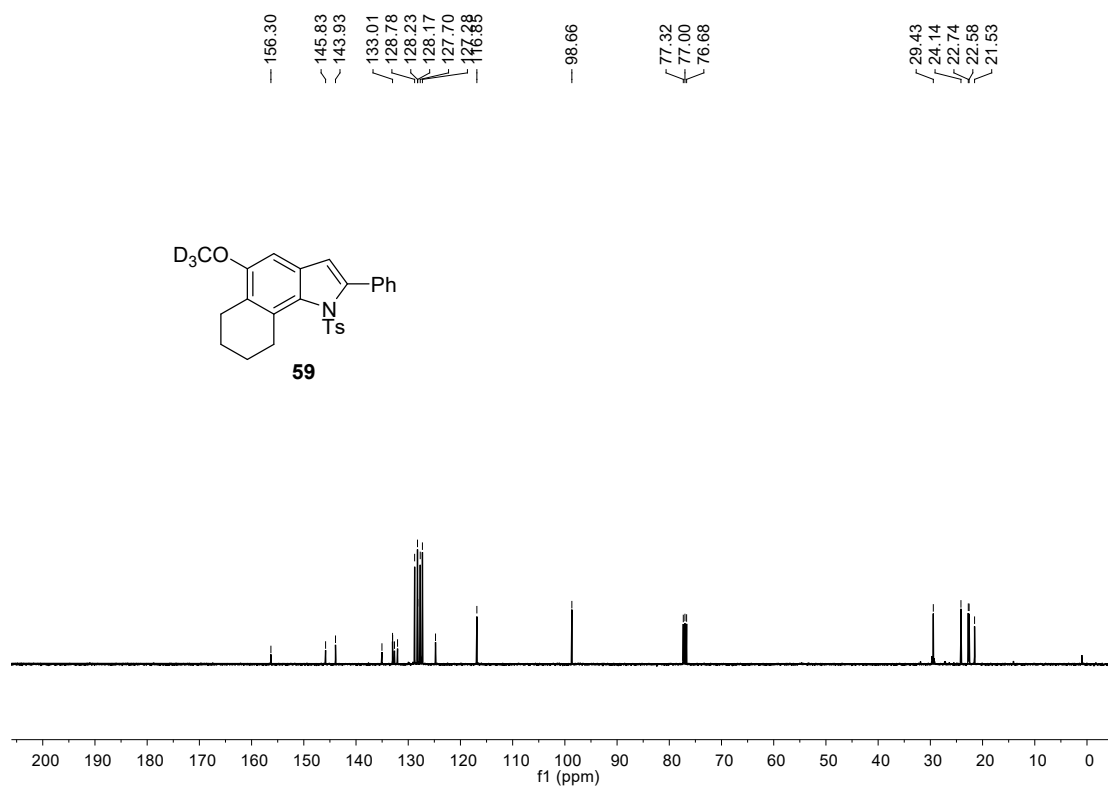
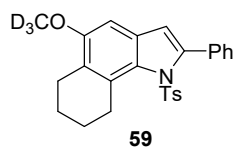
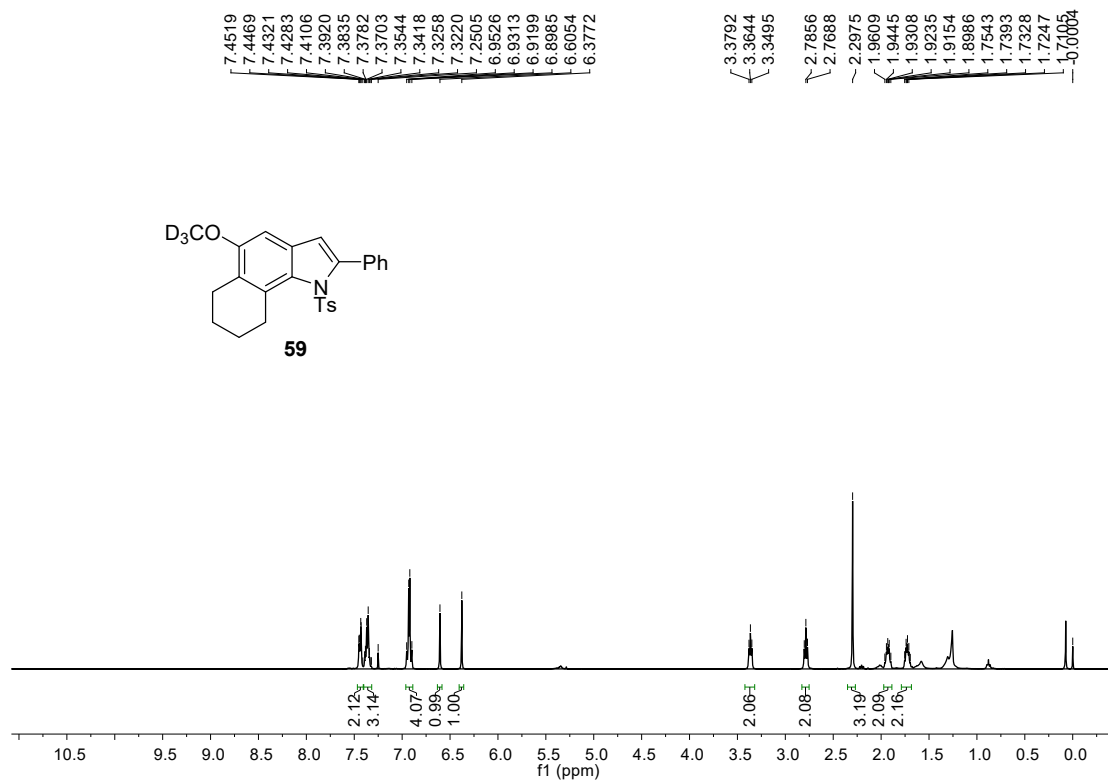
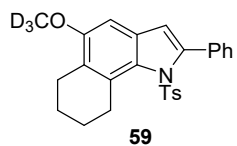


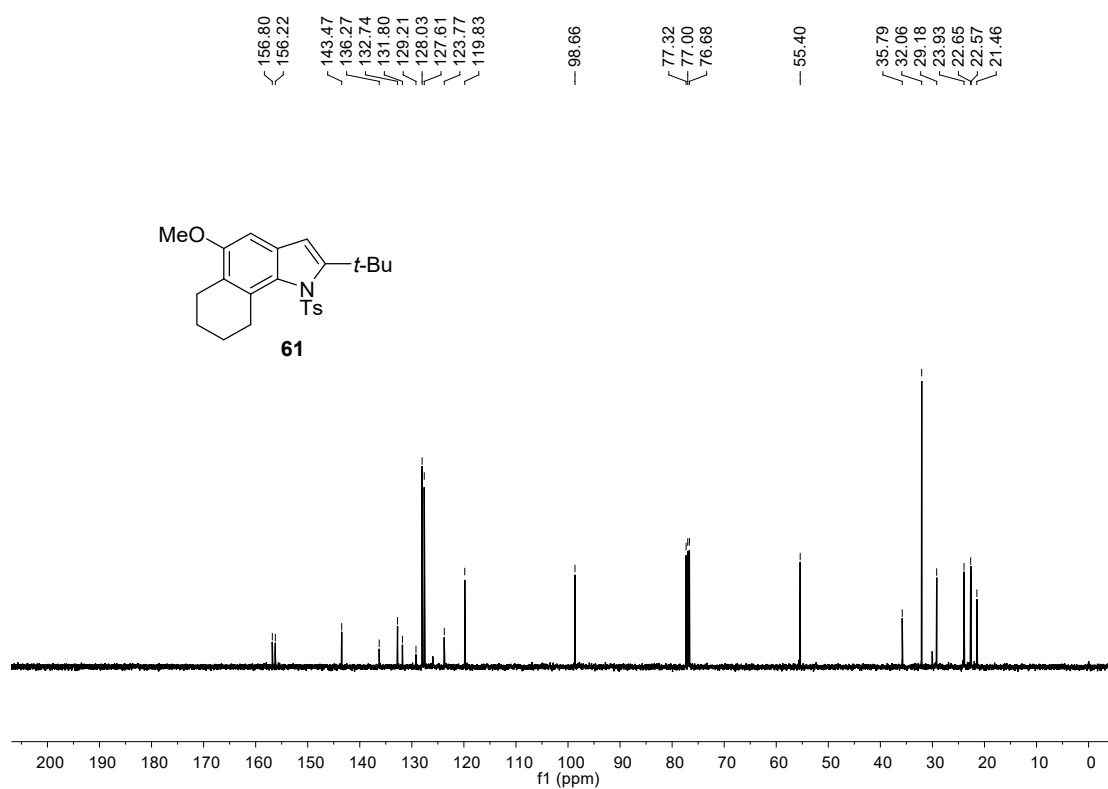
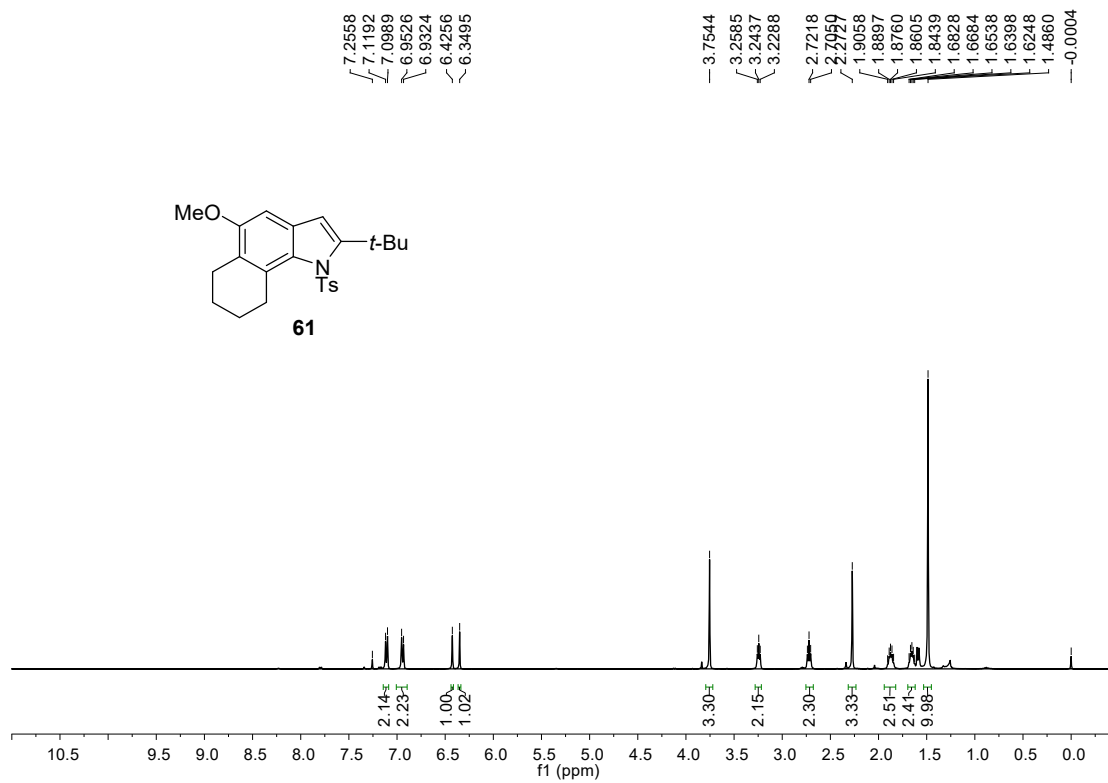


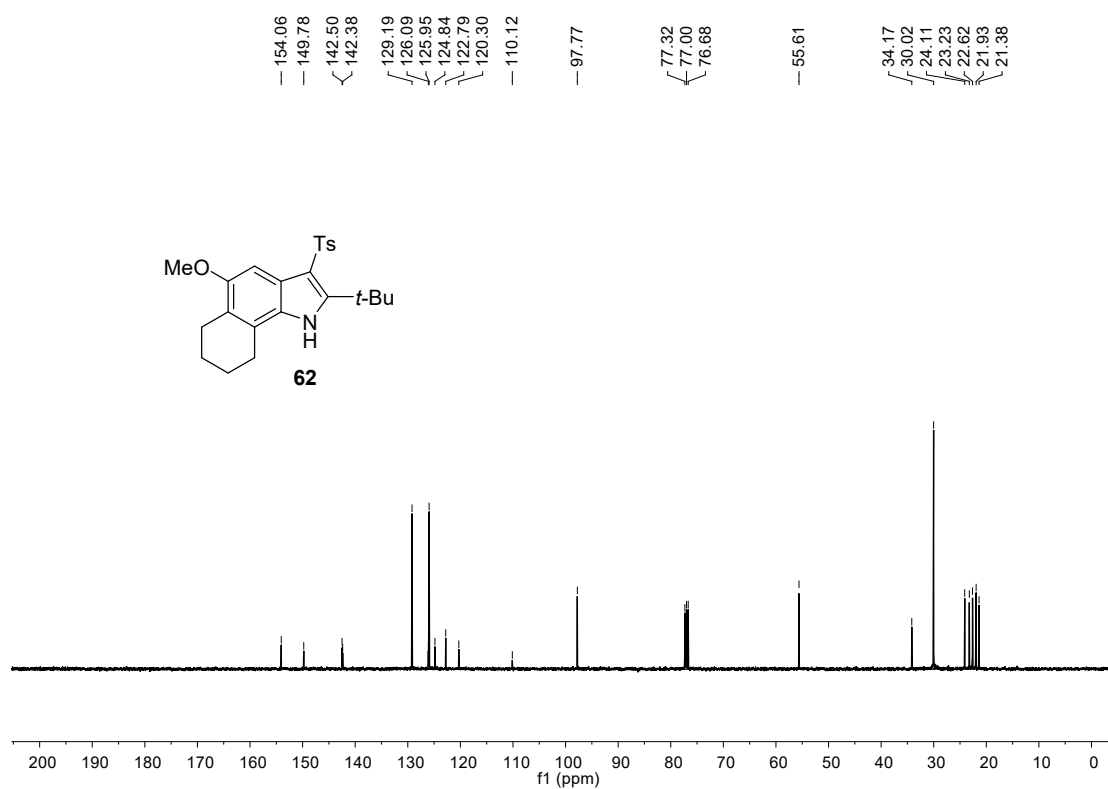
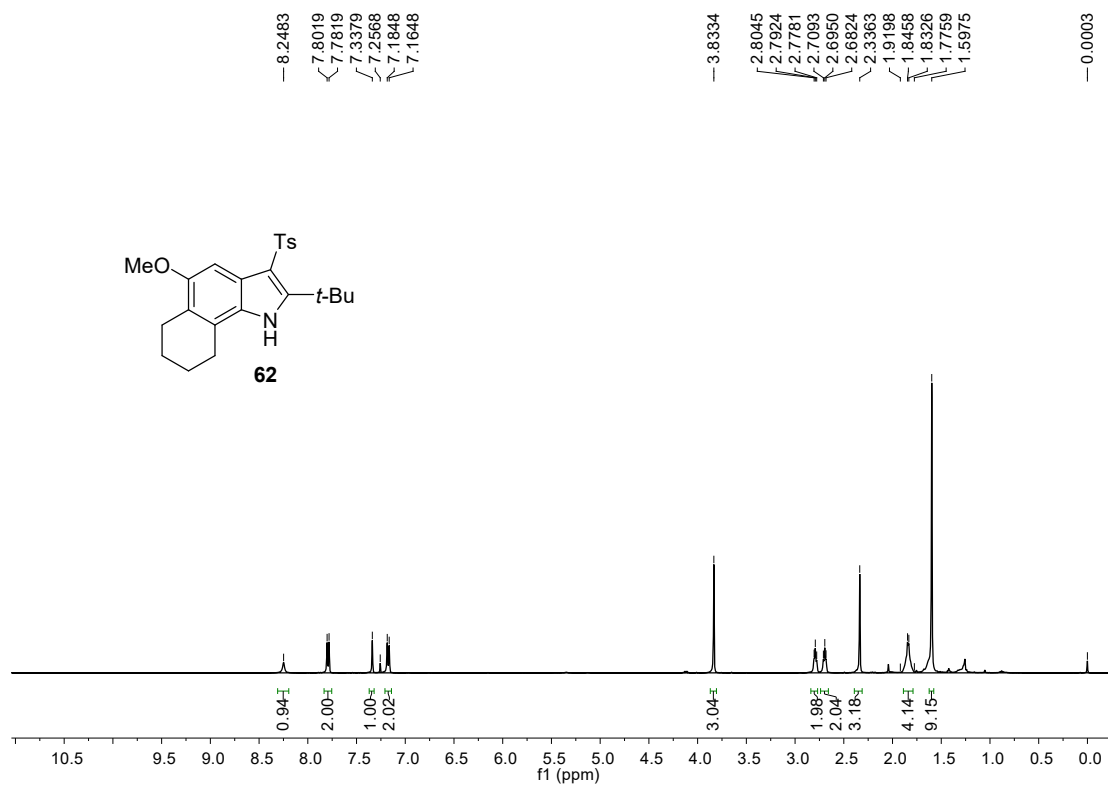


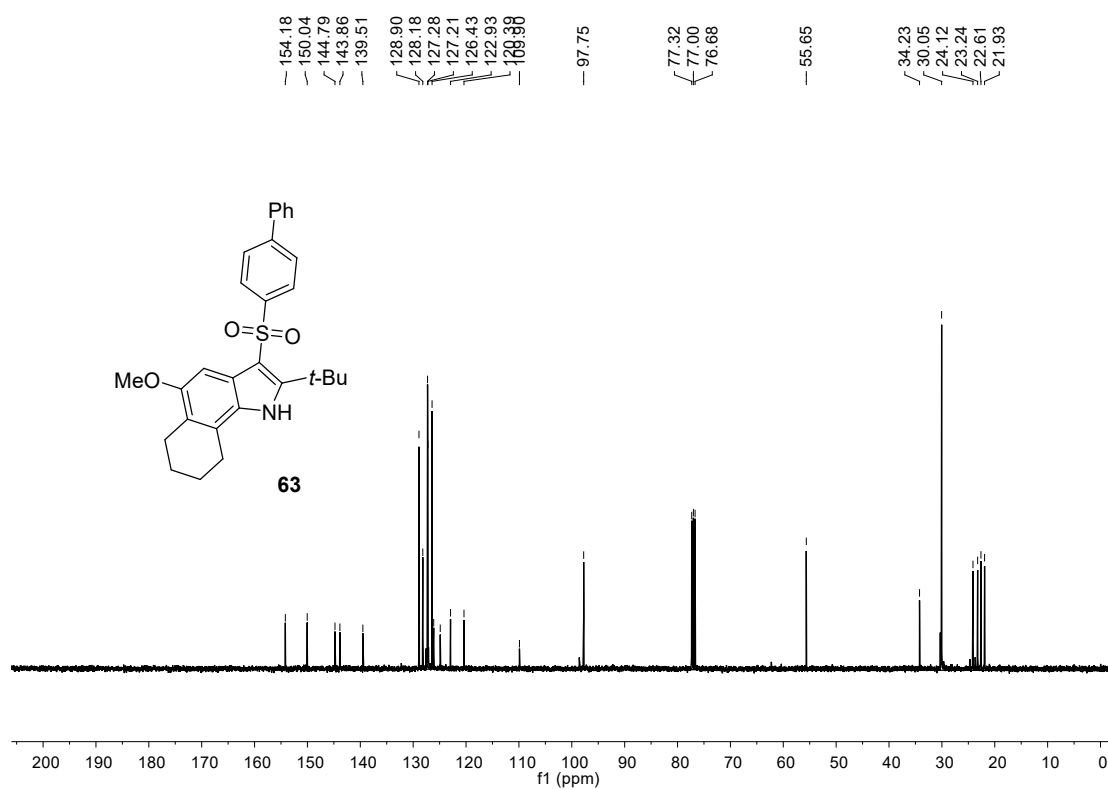
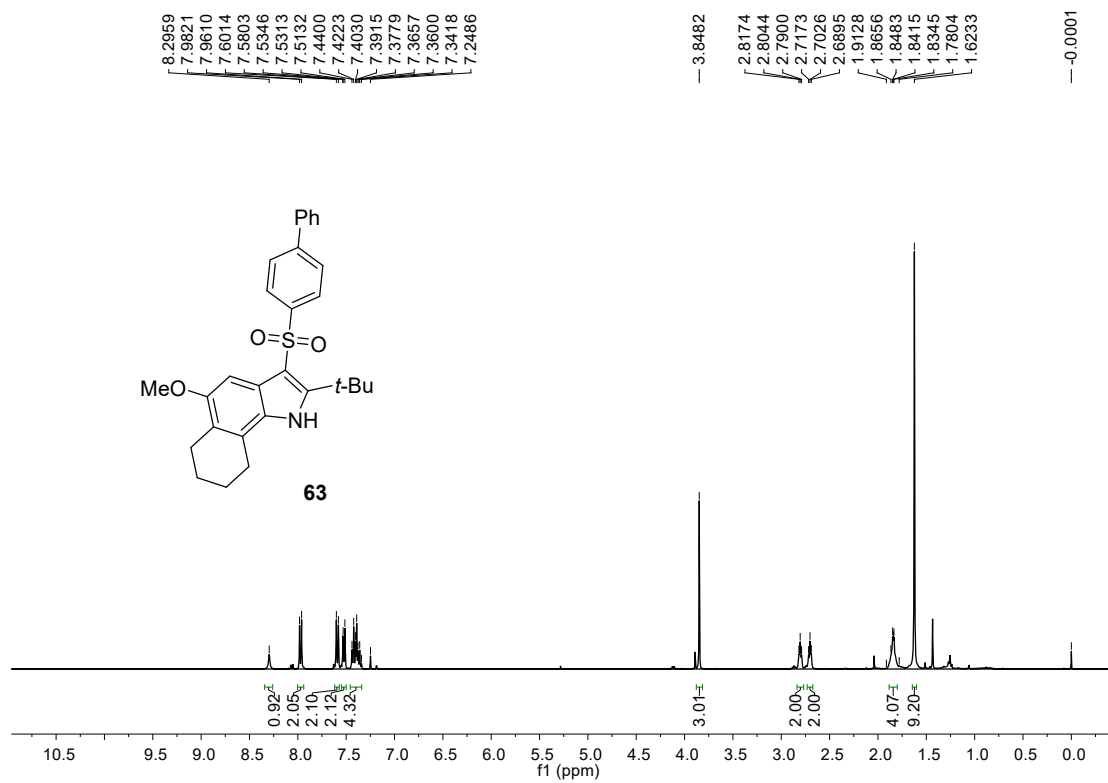


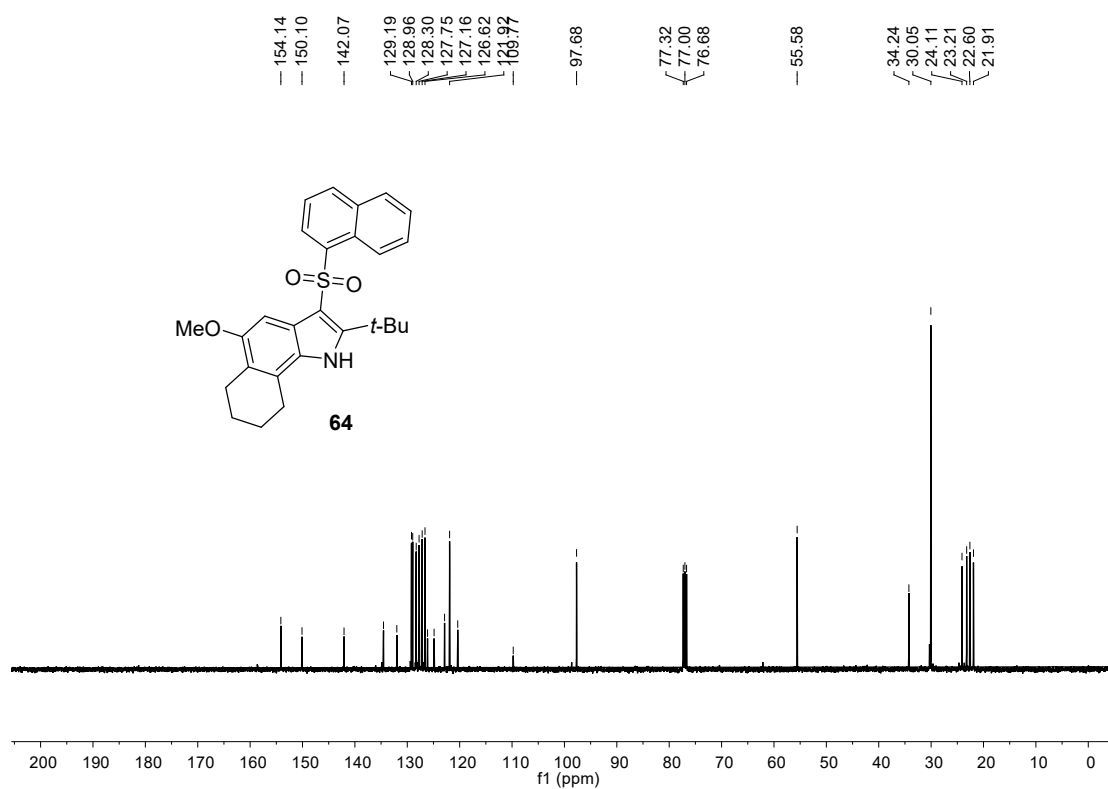
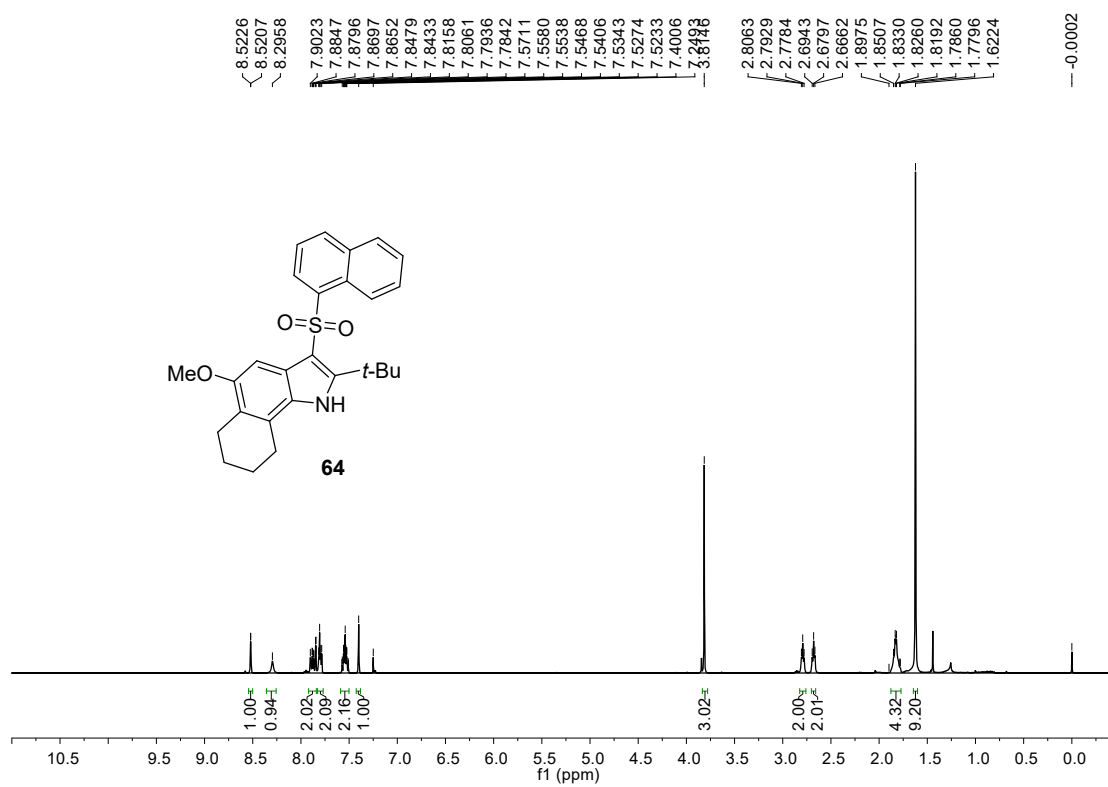


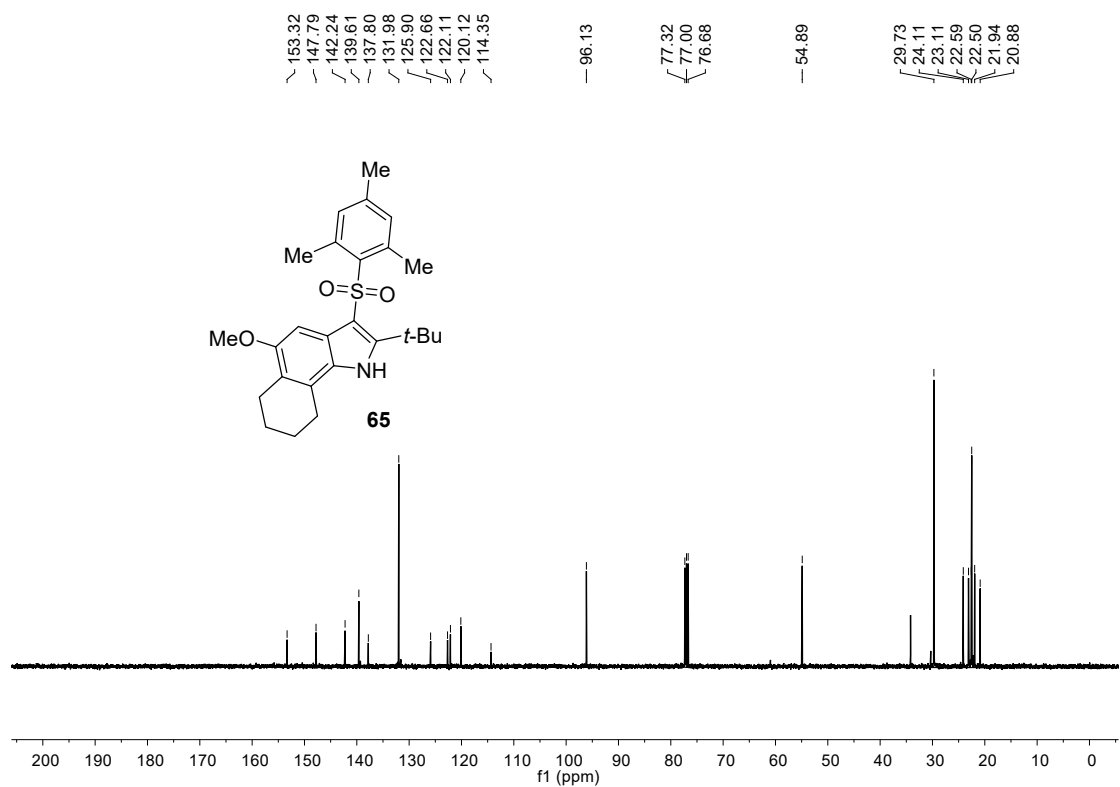
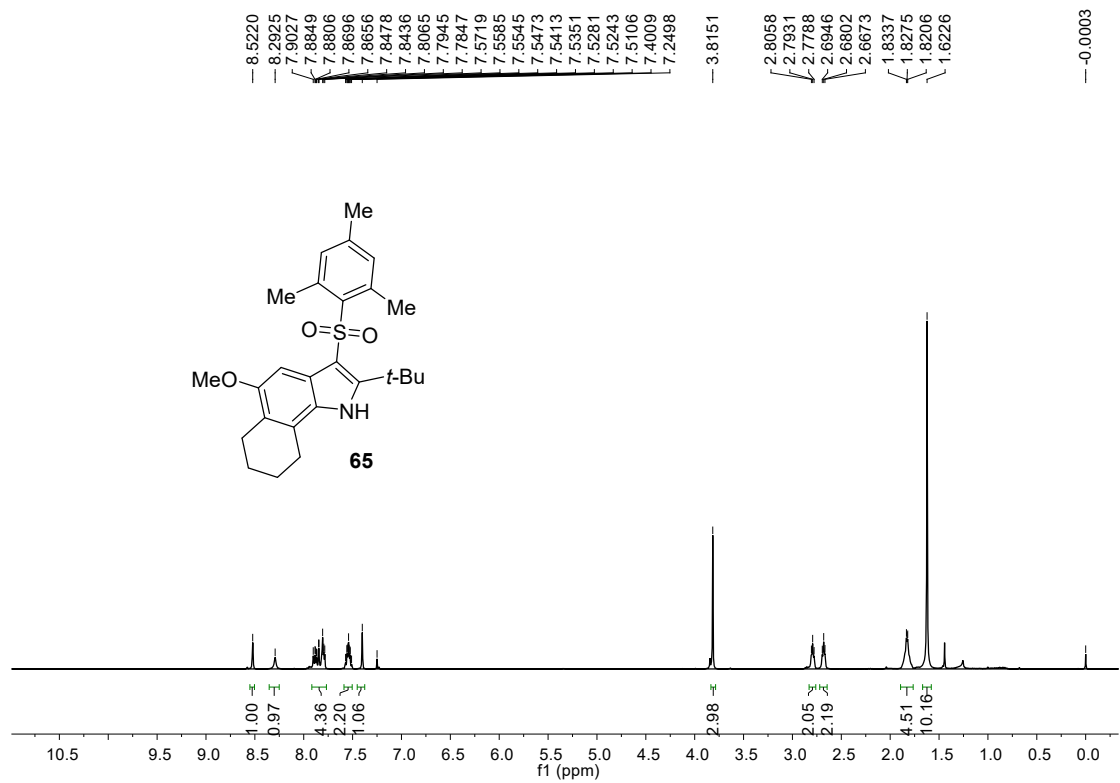


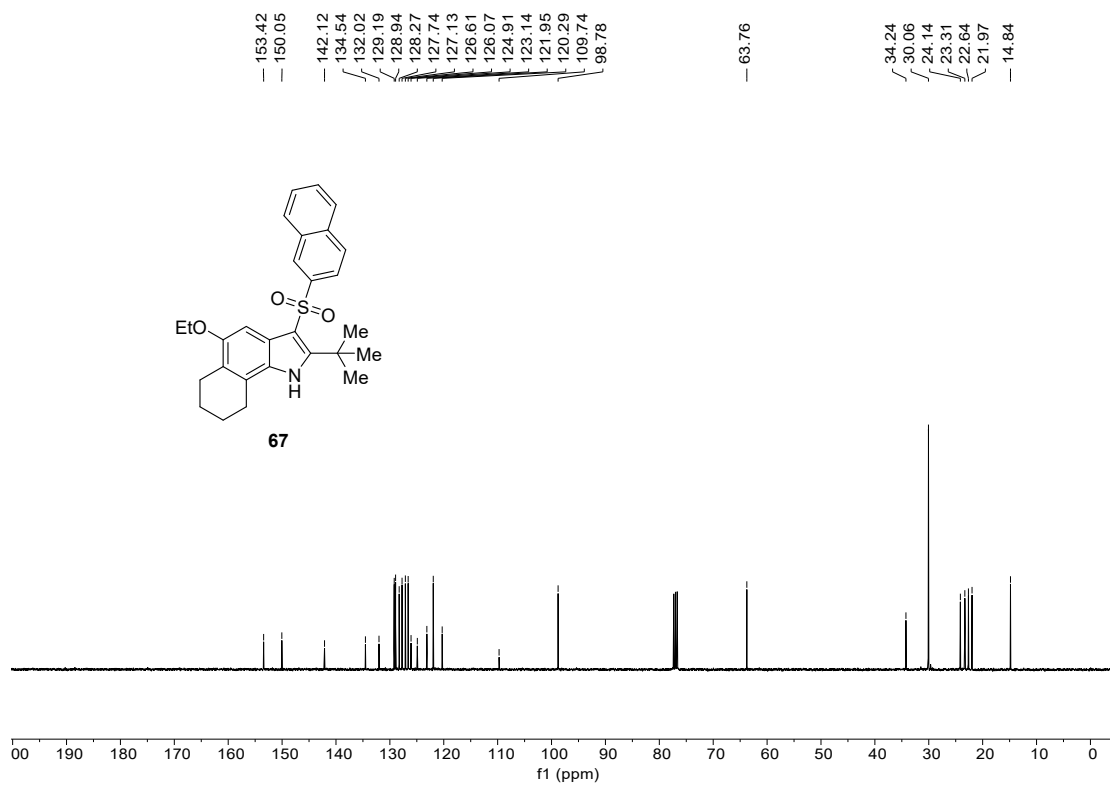
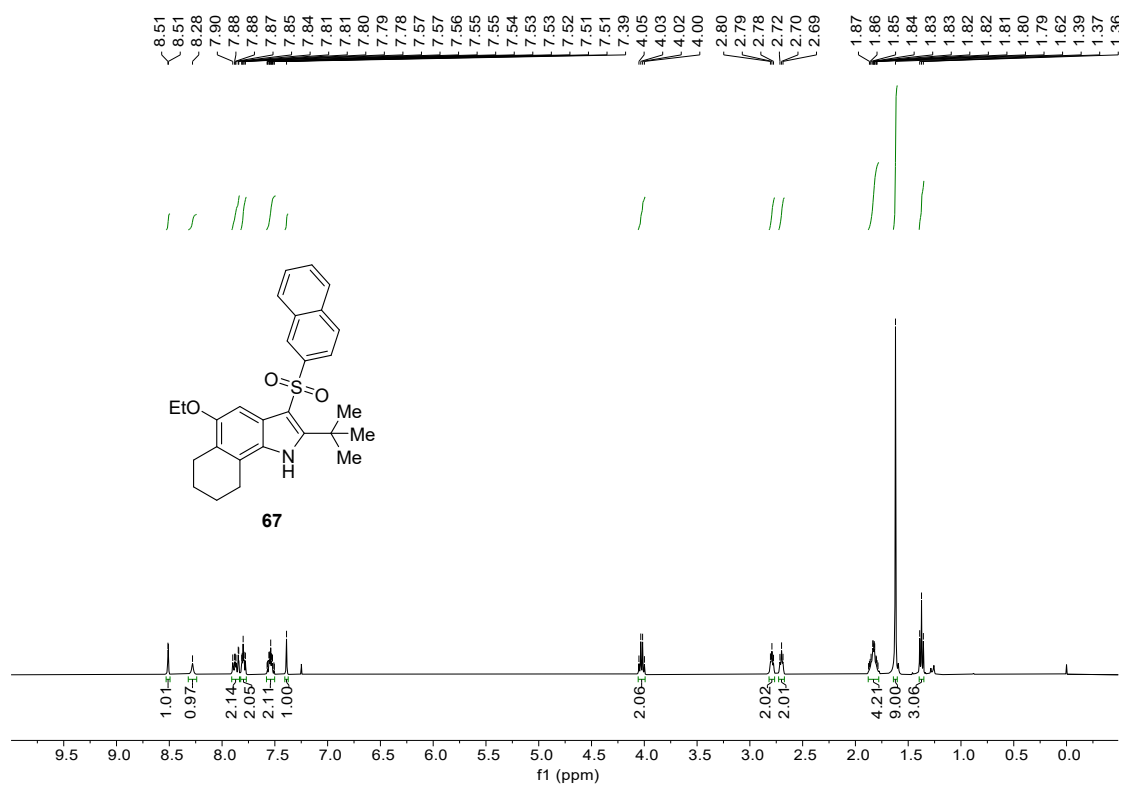












4. References

- 1□ Sacchelli, B. A. L.; Rocha, B. C.; Andrade, L. H. Cascade Reactions Assisted by Microwave Irradiation: Ultrafast Construction of 2-Quinolinone-Fused γ -Lactones from *N*-(*o*-Ethynylaryl)acrylamides and Formamide. *Org. Lett.* **2021**, *23*, 5071-5075.
- 2□ Jiang, Z.; Wu, Z.; Wang, L.; Wu, D.; Zhou, X. Preparation of aromatic amines by copper-catalyzed coupling of boronic acids with aqueous ammonia. *Can. J. Chem.* **2010**, *88*, 964-968.
- 3□ Andrews, R. J.; Chitnis, S. S.; Stephan, D. W. Carbonyl and olefin hydrosilylation mediated by an air-stable phosphorus(III) dication under mild conditions. *Chem. Commun.* **2019**, *55*, 5599-5602.
- 4□ Ahmed, S. K.; Haese, N. N.; Cowan, J. T.; Pathak, V.; Moukha-Chafiq, O.; Smith, V. J.; Rodzinak, K. J.; Ahmad, F.; Zhang, S.; Bonin, K. M.; Streblow, A. D.; Streblow, C. E.; Kreklywich, C. N.; Morrison, C.; Sarkar, S.; Moorman, N.; Sander, W.; Allen, R.; DeFilippis, V.; Tekwani, B. L.; Wu, M.; Hirsch, A. J.; Smith, J. L.; Tower, N. A.; Rasmussen, L.; Bostwick, R.; Maddry, J. A.; Ananthan, S.; Gerdes, J. M.; Augelli-Szafran, C. E.; Suto, M. J.; Morrison, T. E.; Heise, M. T.; Streblow, D. N.; Pathak, A. K. Targeting Chikungunya Virus Replication by Benzoannulene Inhibitors. *J. Med. Chem.* **2021**, *64*, 4762-4786.
- 5□ Kelley, B. T.; Walters, J. C.; Wengryniuk, S. E. Access to Diverse Oxygen Heterocycles via Oxidative Rearrangement of Benzylic Tertiary Alcohols. *Org. Lett.* **2016**, *18*, 1896-1899.
- 6□ Han, J.; Cui, Z.; Wang, J.; Liu, Z. Efficient and Mild Iron-Catalyzed Direct Allylation of Benzyl Alcohols and Benzyl Halides with Allyltrimethylsilane. *Synth. Commun.* **2010**, *40*, 2042-2046.
- 7□ Neudeck, H.; Brinker, U. H. 1-*H*-Cyclobuta[a]naphthalen-2-one. *Tetrahedron Lett.* **2005**, *46*, 1893-1895.