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Supporting Information

Rh(III)-Catalyzed Sulfonylamination of α-Indolyl Alcohols *via* Csp^2-Csp^3 Bond Cleavage

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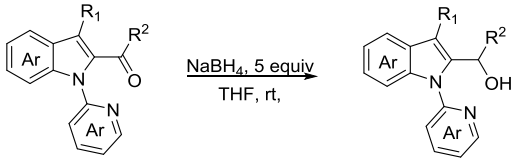
I. General Experimental Information

All reactions were carried out in flame-dried sealed tubes with magnetic stirring. Unless otherwise noted, all experiments were performed under argon atmosphere. Reagents were purchased from Accela, Acros, Aladdin, Adamas, Energy Chemical or TCI. Catalysts $[Cp^*Rh(CH_3CN)_3][SbF_6]_2$ were prepared according to the reported procedure.[1] Solvents were treated with 4 Å molecular sieves or sodium and distilled prior to use. Purifications of reaction products were carried out by flash chromatography using silica gel (400-630 mesh). Infrared spectra (IR) were reported as wavelength numbers (cm^{-1}). ^1H NMR and ^{13}C NMR spectra were reported in parts per million (ppm) and coupling constants are reported as Hertz (Hz). Splitting patterns are designated as singlet (s), broad singlet (bs), doublet (d), triplet (t). Splitting patterns that could not be interpreted or easily visualized are designated as multiple (m). High resolution mass spectra (HRMS) were recorded on an IF-TOF spectrometer (Micromass) and Thermo Scientific Q Exactive. Azides **2a~2r** were prepared according to the previous literatures.[2]

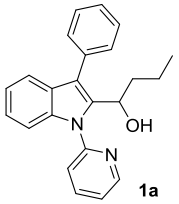
II. Experimental Procedures for the Preparation of Starting Materials

1. Procedure for the synthesis of secondary alcohol substrates 1a~1q, 1s, 1u~1w, 5~7[3]



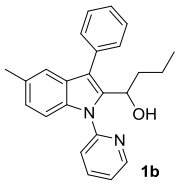
S1 **1**

The secondary alcohols **1a~1q, 1s, 1u~1w, 5~7** were prepared from ketones **S1**, which were synthesized according to the previous literature [2]. Ketone **S1** (3.0 mmol) was dissolved in THF (20 mL), then $NaBH_4$ (15.0 mol) was added in portions, and stirred for 24-48 h at room temperature. The reaction was monitored by TLC to achieve full conversion, then was quenched by saturated NH_4Cl (aq), extracted by EtOAc for three times (3 × 5 mL), dried over Na_2SO_4, and evaporated in vacuum to afford the crude product, which was further purified by flash chromatography on silica gel with petroleum ether/EtOAc (20:1~5:1) to give excellent yields of the corresponding alcohols **1**.



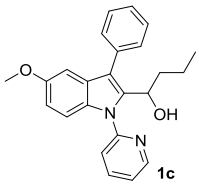
1a

1-(3-Phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1a)[4]: 975 mg, 95%; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.63 (d, *J* = 5.0 Hz, 1H), 8.04 (t, *J* = 7.8 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.66 (dd, *J* = 14.9, 7.6 Hz, 3H), 7.53 (t, *J* = 8.0 Hz, 3H), 7.40 (dd, *J* = 7.1, 6.4 Hz, 2H), 7.30 – 7.21 (m, 2H), 6.41 (d, *J* = 10.5 Hz, 1H), 4.97 (q, *J* = 8.0 Hz, 1H), 1.45 (q, *J* = 8.8, 8.3 Hz, 1H), 1.17 (m, 2H), 1.02 (m, 1H), 0.62 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.2, 148.7, 139.4, 138.4, 136.2, 134.2, 130.5, 128.6, 126.9, 123.5, 122.0, 121.6, 120.4, 120.2, 120.1, 119.0, 110.0, 66.7, 37.9, 19.4, 13.7.



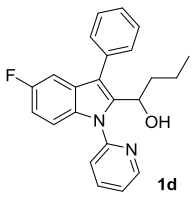
1b

1-(5-Methyl-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1b): colorless oily liquid; 940 mg, 88% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.64 – 8.59 (m, 1H), 8.02 (m, 1H), 7.74 (d, *J* = 8.1 Hz, 1H), 7.63 (dd, *J* = 8.0, 1.1 Hz, 2H), 7.53 (t, *J* = 7.7 Hz, 2H), 7.46 – 7.39 (m, 3H), 7.37 (m, 1H), 7.11 (dd, *J* = 8.5, 1.2 Hz, 1H), 6.43 (d, *J* = 10.5 Hz, 1H), 4.94 (m, 1H), 2.46 (s, 3H), 1.49 – 1.39 (m, 1H), 1.22 – 1.11 (m, 2H), 1.06 – 0.95 (m, 1H), 0.61 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.2, 148.5, 139.3, 138.4, 134.5, 134.4, 131.1, 130.4, 128.7, 128.5, 126.8, 124.9, 121.8, 120.0, 119.9, 119.8, 109.7, 66.6, 37.9, 21.3, 19.4, 13.7; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{24}H_{23}N_2O$: 355.1816, found: 355.1816; IR (KBr): 3565, 3064, 2959, 1867, 1747, 1681, 1516, 1506, 1372, 1261, 744 cm^{-1}.



1c

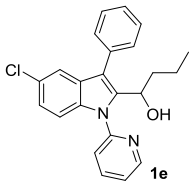
1-(5-Methoxy-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1c): colorless oily liquid; 770 mg, 69% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.61 (dd, *J* = 5.0, 1.2 Hz, 1H), 8.02 (m, 1H), 7.72 (d, *J* = 8.1 Hz, 1H), 7.65 – 7.60 (m, 2H), 7.53 (t, *J* = 7.7 Hz, 2H), 7.45 – 7.39 (m, 2H), 7.37 (m, 1H), 7.10 (d, *J* = 2.5 Hz, 1H), 6.92 (dd, *J* = 9.0, 2.5 Hz, 1H), 6.44 (s, 0.81H), 4.92 (t, *J* = 7.5 Hz, 1H), 3.84 (s, 3H), 1.49 – 1.39 (m, 1H), 1.23 – 1.12 (m, 2H), 1.02 (m, 1H), 0.61 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.5, 152.2, 148.6, 139.4, 139.0, 134.4, 131.1, 130.4, 129.1, 128.6, 126.9, 121.8, 119.9, 119.9, 113.3, 110.9, 102.0, 66.7, 55.9, 37.9, 19.4, 13.6; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{25}N_2O_2$: 373.1911, found: 373.1902; IR (KBr): 3260, 3036, 3011, 2988, 2953, 2863, 1473, 1441, 1206, 1150, 1069, 965, 703, 521 cm^{-1}.



1d

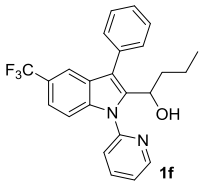
1-(5-Fluoro-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1d): colorless solid; 972 mg, 90% yield; m.p. 94.3-95.9 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.61 (dd, *J* = 4.9, 1.1 Hz, 1H), 8.01 (m, 1H), 7.68 (d, *J* = 8.1 Hz, 1H), 7.57 (d, *J* = 7.4 Hz, 2H), 7.50 (t, *J* = 7.7 Hz, 2H), 7.39 (m, 3H), 7.28 (dd, *J* = 9.3, 2.5 Hz, 1H), 6.97 (m, 1H), 6.28 (d, *J* = 10.5 Hz, 1H), 4.91 (m, 1H), 1.45 – 1.35 (m, 1H), 1.20 – 1.10 (m, 2H), 0.99 (m, 1H), 0.59 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 159.9, 158.0, 152.0, 148.8, 140.0, 139.6, 133.2 (d, *J* = 110.3 Hz),

130.3, 129.2 (d, *J* = 7.6), 128.7, 127.1, 122.3, 120.0, 119.9 (d, *J* = 3.4), 111.6 (d, *J* = 20.7), 110.9 (d, *J* = 7.4), 105.4 (d, *J* = 19.0), 66.6, 37.7, 19.4, 13.6; HR-MS (ESI) calcd for $[M + H]^+$: $C_{23}H_{22}N_2OF$: 361.1711, found: 361.1761; IR (KBr): 3379, 3305, 3082, 2961, 2927, 2866, 1588, 1472, 1444, 1370, 1137, 1024, 811, 774 cm^{-1}.



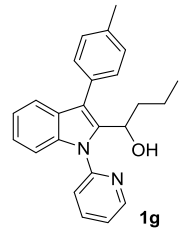
1e

1-(5-Chloro-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1e): white solid; 959 mg, 85% yield; m.p. 102.4-104.8 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.64 (d, *J* = 4.8 Hz, 1H), 8.05 (t, *J* = 7.7 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.64 – 7.57 (m, 3H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.46 – 7.38 (m, 3H), 7.22 (d, *J* = 8.8 Hz, 1H), 6.29 (d, *J* = 10.5 Hz, 1H), 4.94 (dd, *J* = 17.8, 7.6 Hz, 1H), 1.43 (dd, *J* = 17.1, 8.3 Hz, 1H), 1.17 (m, 2H), 1.08 – 0.97 (m, 1H), 0.62 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.7, 148.8, 139.7, 139.6, 134.6, 133.5, 130.3, 129.6, 128.7, 127.2, 123.6, 122.4, 120.1, 119.8, 119.5, 111.1, 66.5, 37.7, 19.4, 13.6; HR-MS [ESI-MS(+)] calcd for $[M - H]^-$: $C_{23}H_{20}ClN_2O$: 375.1270, found: 375.1269; IR (KBr): 3352, 3053, 2955, 2862, 1866, 1747, 1472, 1442, 1368, 1262, 1042, 1016, 799, 704 cm^{-1}.



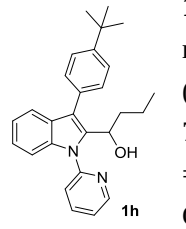
1f

1-(3-Phenyl-1-(pyridin-2-yl)-5-(trifluoromethyl)-1H-indol-2-yl)butan-1-ol (1f): colorless oily liquid; 1033 mg, 84% yield; ^1H NMR (500 MHz, Chloroform-*d*) δ 8.67 (m, 1H), 8.09 (m, 1H), 7.95 – 7.92 (m, 1H), 7.73 (dd, *J* = 8.1, 1.0 Hz, 1H), 7.64 – 7.60 (m, 2H), 7.56 (m, 3H), 7.51 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.48 – 7.43 (m, 2H), 6.16 (d, *J* = 10.4 Hz, 1H), 4.96 (m, 1H), 1.47 – 1.40 (m, 1H), 1.22 – 1.13 (m, 2H), 1.06 – 0.98 (m, 1H), 0.62 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.6, 149.0, 140.2, 139.7, 137.7, 133.3, 130.4, 128.8, 128.1, 127.4, 126.4, 123.8 (q, *J* = 2.0 Hz), 122.8, 120.4, 120.3, 120.2 (d, *J* = 4.0 Hz), 118.0 (d, *J* = 5.0 Hz), 110.4, 66.6, 37.78, 19.4, 13.6; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{22}F_3N_2O$: 411.1679, found: 411.1673; IR (KBr): 3437, 3056, 2961, 1473, 1442, 1325, 1272, 1162, 1115, 1000, 896, 774, 617 cm^{-1}.



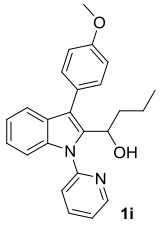
1g

1-(1-(Pyridin-2-yl)-3-(*p*-tolyl)-1H-indol-2-yl)butan-1-ol (1g): white solid; 833 mg, 78% yield; m.p. 80.4-81.7 °C; ^1H NMR (500 MHz, $CDCl_3$) δ 8.63 (dd, *J* = 4.9, 1.2 Hz, 1H), 8.03 (m, 1H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 3H), 7.40 – 7.37 (m, 1H), 7.34 (d, *J* = 7.8 Hz, 2H), 7.29 – 7.26 (m, 1H), 7.23 (dd, *J* = 11.0, 3.9 Hz, 1H), 6.37 (d, *J* = 10.5 Hz, 1H), 4.97 (m, *J* = 10.3, 7.6 Hz, 1H), 2.47 (s, 3H), 1.49 – 1.41 (m, 1H), 1.23 – 1.14 (m, 2H), 1.08 – 0.99 (m, 1H), 0.62 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.2, 148.7, 139.4, 138.3, 136.6, 136.2, 131.2, 130.3, 129.3, 128.7, 123.5, 121.9, 121.5, 120.5, 120.2, 120.1, 110.0, 66.7, 37.9, 21.3, 19.5, 13.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{25}N_2O$: 357.1961, found: 357.1990; IR (KBr): 3290, 3026, 2953, 2863, 1589, 1475, 1435, 1361, 1219, 1014, 825, 741, 647 cm^{-1}.



1h

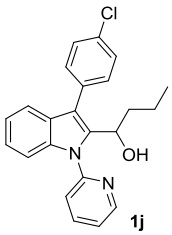
1-(3-(4-(*tert*-Butyl)phenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1h): white solid; 1051 mg, 88% yield; m.p. 159.9-162.2 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.63 (d, *J* = 3.5 Hz, 1H), 8.03 (t, *J* = 7.2 Hz, 1H), 7.74 (dd, *J* = 16.7, 7.8 Hz, 2H), 7.55 (t, *J* = 6.4 Hz, 5H), 7.42 – 7.36 (m, 1H), 7.32 – 7.22 (m, 2H), 6.40 (d, *J* = 10.3 Hz, 1H), 4.99 (d, *J* = 9.0 Hz, 1H), 1.44 (s, 10H), 1.21 (dd, *J* = 15.4, 8.7 Hz, 2H), 1.04 (dd, *J* = 16.5, 8.2 Hz, 1H), 0.63 (t, *J* = 6.7 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.2, 149.7, 148.6, 139.4, 138.3, 136.2, 131.1, 130.0, 128.6, 125.4, 123.4, 122.0, 121.5, 120.6, 120.2, 120.1, 109.9, 66.7, 38.0, 34.6, 31.5, 19.5, 13.8; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{27}H_{31}N_2O$: 399.2431, found: 399.2503; IR (KBr): 3316, 3057, 2956, 2867, 1588, 1474, 1458, 1370, 1319, 1045, 744 cm^{-1}.



1i

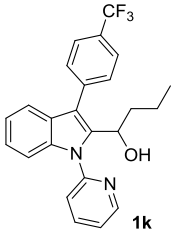
1-(3-(4-Methoxyphenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1i): colorless solid; 1071 mg, 96% yield; m.p. 143.1-144.6 °C; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.62 (dd, *J* = 5.0, 1.8 Hz, 1H), 8.02 (m, 1H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.71 – 7.64 (m, 1H), 7.61 – 7.52 (m, 3H), 7.38 (m, 1H), 7.31 – 7.22 (m, 2H), 7.14 – 7.04 (m, 2H), 6.39 (d, *J* = 10.4 Hz, 1H), 4.96 (m, 1H), 3.92 (s, 3H), 1.51 – 1.41 (m, 1H), 1.19 (m, 2H), 1.08 – 0.99 (m, 1H), 0.63 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.7, 152.1, 148.6, 139.3, 138.2, 136.1, 131.5, 128.7,

126.5, 123.4, 121.9, 121.5, 120.4, 120.1, 119.7, 114.0, 109.9, 66.6, 55.3, 37.9, 19.4, 13.7; HR-MS (ESI) calcd for $[M + H]^+$: $C_{24}H_{25}N_2O_2$: 373.1911, found: 373.1968; IR (KBr): 3320, 3049, 2950, 2862, 1588, 1556, 1506, 1473, 1373, 1282, 1245, 1172, 1104, 1019, 998, 837, 791, 740 cm^{-1}.



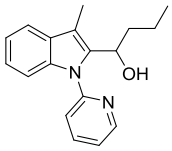
1j

1-(3-(4-Chlorophenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1j): light yellow solid; 812 mg, 72% yield; m.p. 171.9-173.0 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.64 (dd, *J* = 4.9, 1.2 Hz, 1H), 8.04 (m, 1H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.63 (d, *J* = 7.4 Hz, 1H), 7.57 (m, 2H), 7.52 (dd, *J* = 17.2, 8.4 Hz, 3H), 7.43 – 7.39 (m, 1H), 7.30 – 7.27 (m, 1H), 7.24 (dd, *J* = 10.9, 3.9 Hz, 1H), 6.31 (d, *J* = 10.3 Hz, 1H), 4.92 (m, 1H), 1.49 – 1.40 (m, 1H), 1.22 – 1.12 (m, 2H), 1.06 – 0.97 (m, 1H), 0.62 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.9, 148.7, 139.4, 138.5, 136.2, 132.7, 131.6, 130.4, 128.8, 128.2, 123.7, 122.2, 121.8, 120.2, 120.1, 118.8, 110.1, 66.6, 37.8, 19.4, 13.6; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{23}H_{22}N_2OCl$: 377.1415, found: 377.1409; IR (KBr): 3310, 3061, 2954, 2861,1587, 1566, 1472, 1455, 1435, 1365, 1314, 1215, 1088, 1014, 1000, 832, 779, 746, 716 cm^{-1}.



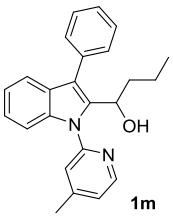
1k

1-(1-(Pyridin-2-yl)-3-(4-(trifluoromethyl)phenyl)-1H-indol-2-yl)butan-1-ol (1k): colorless solid; 984 mg, 80% yield; m.p. 110.5-111.3 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.65 (dd, *J* = 4.9, 1.3 Hz, 1H), 8.06 (m, 1H), 7.85 – 7.74 (m, 5H), 7.68 (d, *J* = 7.5 Hz, 1H), 7.56 (d, *J* = 8.2 Hz, 1H), 7.42 (dd, *J* = 7.3, 5.0 Hz, 1H), 7.35 – 7.25 (m, 2H), 6.41 (dd, *J* = 24.1, 10.5 Hz, 1H), 5.03 – 4.89 (m, 1H), 1.53 – 1.44 (m, 1H), 1.25 – 1.15 (m, 2H), 1.09 – 1.00 (m, 1H), 0.65 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.9, 148.8, 139.6, 139.0, 138.3, 136.3, 130.7, 130.5, 128.0, 125.5 (q, *J* = 3.0 Hz), 123.9, 123.1, 122.4, 122.0, 120.3, 120.0, 118.7, 110.2, 66.7, 37.8, 19.5, 13.6; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{24}H_{20}F_3N_2O$: 409.1533, found: 409.1532; IR (KBr): 3456, 3057, 2926, 2860, 1618, 1589, 1474, 1458, 1438, 1371, 1323, 1123, 1068, 1021, 843, 782, 741 cm^{-1}.



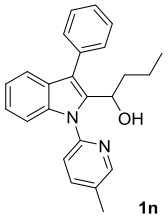
1l

1-(3-Methyl-1-(pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1l): colorless oily liquid; 756 mg, 90% yield; ^1H NMR (500 MHz, $CDCl_3$) δ 8.57 (m, 1H), 8.00 – 7.95 (m, 1H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.64 – 7.61 (m, 1H), 7.49 – 7.46 (m, 1H), 7.33 (m, 1H), 7.26 – 7.22 (m, 2H), 6.54 (d, *J* = 10.1 Hz, 1H), 5.07 – 4.97 (m, 1H), 2.44 (s, 3H), 1.60 (m, 1H), 1.32 – 1.28 (m, 2H), 1.13 (m, 1H), 0.77 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.2, 148.3, 139.2, 138.0, 136.1, 129.8, 123.1, 121.5, 120.9, 120.0, 119.4, 112.6, 109.8, 66.1, 38.1, 19.5, 13.8, 9.2; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{18}H_{19}N_2O$: 279.1503, found: 279.1503; IR (KBr): 3690, 3062, 2956, 2868, 1867, 1747, 1730, 1515, 1361, 1222, 780, 741 cm^{-1}.



1m

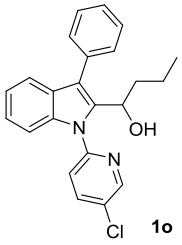
1-(1-(4-Methylpyridin-2-yl)-3-phenyl-1H-indol-2-yl)butan-1-ol (1m): colorless solid; 929 mg, 87% yield; m.p. 84.6-86.2 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.47 (d, *J* = 5.2 Hz, 1H), 7.67 (d, *J* = 7.7 Hz, 1H), 7.64 (dd, *J* = 8.0, 1.0 Hz, 2H), 7.59 – 7.49 (m, 4H), 7.45 – 7.38 (m, 1H), 7.31 – 7.26 (m, 1H), 7.25 – 7.19 (m, 2H), 6.53 (d, *J* = 10.1 Hz, 1H), 4.95 (dd, *J* = 17.2, 7.6 Hz, 1H), 2.58 (s, 3H), 1.49 – 1.41 (m, 1H), 1.26 – 1.14 (m, 2H), 1.09 – 0.98 (m, 1H), 0.63 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.1, 148.1, 138.5, 136.2, 134.3, 130.4, 128.5, 126.8, 123.3, 123.1, 121.4, 120.6, 120.3, 119.8, 110.0, 66.6, 37.8, 21.4, 19.4, 13.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{25}N_2O$: 357.1961, found: 357.1989; IR (KBr): 3516, 2978, 2960, 2866, 1752, 1735, 1700, 1654, 1457, 1220, 1068, 746, 699 cm^{-1}.



1n

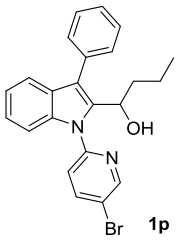
1-(1-(5-Methylpyridin-2-yl)-3-phenyl-1H-indol-2-yl)butan-1-ol (1n): white solid; 854 mg, 80% yield; m.p. 96.2-97.2 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.47 – 8.43 (m, 1H), 7.84 (dd, *J* = 8.1, 2.3 Hz, 1H), 7.68 – 7.61 (m, 4H), 7.50 (m, 3H), 7.43 – 7.38 (m, 1H), 7.30 – 7.25 (m, 1H), 7.22 (dd, *J* = 10.9, 4.0 Hz, 1H), 6.37 (d, *J* = 9.0 Hz, 1H), 4.95 (d, *J* = 7.1 Hz, 1H), 2.50 (s, 3H), 1.50 – 1.41 (m, 1H), 1.22 – 1.12 (m, 2H), 1.06 – 0.98 (m, 1H), 0.62 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.8, 148.7, 140.0, 138.3, 136.3, 134.3, 131.9, 130.4, 128.5, 128.4, 126.8, 123.3,

121.4, 120.3, 119.7, 119.6, 109.9, 66.7, 37.8, 19.4, 18.1, 13.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{25}N_2O$: 357.1961, found: 357.1992; IR (KBr): 3265, 3047, 2954, 2926, 1599, 1484, 1455, 1390, 1219, 1012, 961, 745, 704 cm^{-1}.



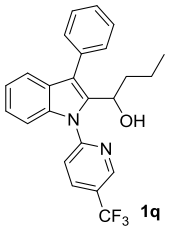
1o

1-(1-(5-Chloropyridin-2-yl)-3-phenyl-1H-indol-2-yl)butan-1-ol (1o): colorless solid; 1038 mg, 92% yield; m.p. 128.7-129.9 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.60 (d, *J* = 2.4 Hz, 1H), 8.00 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.72 (d, *J* = 8.6 Hz, 1H), 7.68 (d, *J* = 7.8 Hz, 1H), 7.66 – 7.62 (m, 2H), 7.54 (t, *J* = 7.7 Hz, 2H), 7.49 (d, *J* = 8.2 Hz, 1H), 7.43 (t, *J* = 7.4 Hz, 1H), 7.33 – 7.27 (m, 1H), 7.27 – 7.23 (m, 1H), 5.78 (d, *J* = 9.8 Hz, 1H), 4.97 (q, *J* = 7.8 Hz, 1H), 1.53 – 1.46 (m, 1H), 1.22 (m, 2H), 1.08 – 1.00 (m, 1H), 0.65 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.3, 147.5, 139.2, 138.1, 136.2, 134.0, 130.4, 129.8, 128.6, 127.1, 123.8, 121.9, 120.9, 120.6, 120.5, 109.8, 66.7, 37.9, 19.4, 13.6; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{23}H_{22}ClN_2O$: 377.1415, found: 377.1419; IR (KBr): 3297, 3043, 2953, 2862, 1576, 1459, 1390, 1361, 1110, 1035, 776, 747 cm^{-1}.



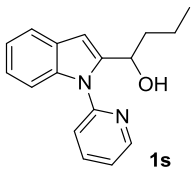
1p

1-(1-(5-Bromopyridin-2-yl)-3-phenyl-1H-indol-2-yl)butan-1-ol (1p): light yellow solid; 844 mg, 67% yield; m.p. 111.2-112.3 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.69 (d, *J* = 1.8 Hz, 1H), 8.12 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.68 (dd, *J* = 15.0, 8.1 Hz, 4H), 7.59 – 7.49 (m, 3H), 7.44 (t, *J* = 7.3 Hz, 1H), 7.32 – 7.24 (m, 2H), 5.82 (d, *J* = 10.2 Hz, 1H), 4.99 (dd, *J* = 17.2, 7.9 Hz, 1H), 1.59 – 1.46 (m, 1H), 1.30 – 1.16 (m, 2H), 1.13 – 1.00 (m, 1H), 0.67 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.8, 149.8, 142.0, 138.1, 136.2, 134.0, 130.4, 128.6, 128.6, 127.1, 123.8, 121.9, 121.4, 120.6, 120.6, 117.9, 109.8, 66.7, 37.9, 19.5, 13.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{23}H_{22}BrN_2O$: 421.0910, found: 421.0915; IR (KBr): 3305, 3039, 2953, 2922, 1571, 1454, 1470, 1458, 1034, 1012, 775, 753, 703 cm^{-1}.



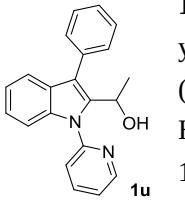
1q

1-(3-Phenyl-1-(5-(trifluoromethyl)pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1q): coloress solid; 935 mg, 76% yield; m.p. 72.8-73.9 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.92 (d, *J* = 0.8 Hz, 1H), 8.27 (dd, *J* = 8.5, 2.3 Hz, 1H), 7.91 (d, *J* = 8.5 Hz, 1H), 7.67 (d, *J* = 7.6 Hz, 1H), 7.65 – 7.61 (m, 2H), 7.54 (dd, *J* = 15.0, 7.7 Hz, 3H), 7.46 – 7.41 (m, 1H), 7.35 – 7.30 (m, 1H), 7.28 (s, 1H), 5.85 (d, *J* = 10.3 Hz, 1H), 4.96 (m, 1H), 1.51 – 1.44 (m, 1H), 1.25 – 1.14 (m, 2H), 1.07 – 0.99 (m, 1H), 0.63 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.8, 146.0 (d, *J* = 4.2 Hz), 138.2, 136.7, 136.0, 133.7, 130.4, 129.0, 128.6, 127.3, 124.6 (q, *J* = 2.4 Hz), 124.1, 122.4, 121.8, 121.6, 120.8, 119.6, 109.8, 66.6, 37.9, 19.4, 13.6; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{24}H_{20}F_3N_2O$: 409.1533, found: 409.1533; IR (KBr): 3364, 3052, 2956, 2867, 1602, 1489, 1456, 14325, 1169, 1133, 1081, 1019, 749, 702 cm^{-1}.



1s

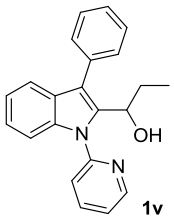
1-(1-(Pyridin-2-yl)-1H-indol-2-yl)butan-1-ol (1s): white solid; 758 mg, 95% yield; m.p. 60.7-63.3 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.61 (d, *J* = 4.8 Hz, 1H), 7.98 (t, *J* = 7.7 Hz, 1H), 7.72 – 7.66 (m, 2H), 7.51 (d, *J* = 7.6 Hz, 1H), 7.39 – 7.33 (m, 1H), 7.23 (p, *J* = 7.2 Hz, 2H), 6.71 (s, 1H), 6.11 (d, *J* = 2.1 Hz, 1H), 4.63 (s, 1H), 2.10 – 1.98 (m, 1H), 1.95 – 1.84 (m, 1H), 1.60 (m, 1H), 1.45 (m, 1H), 0.97 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.4, 148.7, 143.9, 139.1, 136.6, 128.8, 122.8, 121.7, 121.3, 121.3, 120.1, 110.3, 102.8, 65.7, 36.4, 19.7, 14.1; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{17}H_{17}N_2O$: 265.1346, found: 265.1345; IR (KBr): 3317, 3059, 2955, 2922, 2867, 1591, 1474, 1454, 1345, 1154, 1031, 1021, 803, 746, 523 cm^{-1}.



1u

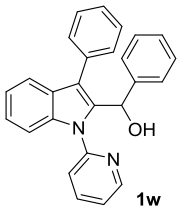
1-(3-Phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)ethan-1-ol (1u): light yellow solid; 829 mg, 88% yield; m.p. 148.5-150.6 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.67 – 8.63 (m, 1H), 8.04 (m, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.69 (d, *J* = 7.6 Hz, 1H), 7.65 (dd, *J* = 8.0, 1.1 Hz, 2H), 7.55 (dd, *J* = 8.0, 3.5 Hz, 3H), 7.44 – 7.38 (m, 2H), 7.30 – 7.27 (m, 1H), 7.25 – 7.22 (m, 1H), 6.52 (s, 1H), 5.20 (s, 1H), 1.15 (d, *J* = 7.0 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 148.7, 139.4, 139.3, 136.2, 134.2, 130.5, 128.6, 128.5, 127.0, 123.6, 122.0, 121.7, 120.5, 120.3, 119.1, 110.0, 62.4, 22.2; HR-MS

[ESI-MS(+)] calcd for $[M + H]^+$: $C_{21}H_{19}N_2O$: 315.1492, found: 315.1510; IR (KBr): 3211, 3025, 2959, 1867, 1747, 1730, 1506, 1539, 1361, 1224, 094, 1018, 771, 748 cm^{-1}.



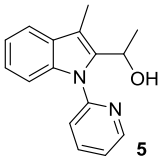
1v

1-(3-Phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)propan-1-ol (1v): colorless liquid; 925 mg, 94% yield; ^1H NMR (500 MHz, $CDCl_3$) δ 8.66 – 8.61 (m, 1H), 8.03 (m, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.67 (dd, *J* = 15.0, 7.4 Hz, 3H), 7.54 (t, *J* = 7.8 Hz, 3H), 7.44 – 7.37 (m, 2H), 7.31 – 7.27 (m, 1H), 7.24 (t, *J* = 7.4 Hz, 1H), 6.38 (d, *J* = 10.4 Hz, 1H), 4.87 (dd, *J* = 18.0, 7.9 Hz, 1H), 1.54 – 1.44 (m, 1H), 1.28 – 1.21 (m, 1H), 0.68 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 148.7, 139.4, 138.2, 136.2, 134.3, 130.5, 128.6, 126.9, 123.5, 122.0, 121.6, 120.4, 120.3, 120.2, 110.0, 68.4, 28.8, 10.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{22}H_{21}N_2O$: 329.1648, found: 329.1669; IR (KBr): 3674, 3026, 2967, 2922, 2869, 1867, 1588, 1471, 1439, 1222, 1091, 1962, 741, 703 cm^{-1}.



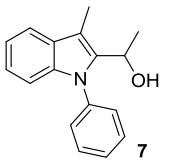
1w

Phenyl(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)methanol (1w): white power; 948 mg, 84% yield; m.p. 167.0-168.1 ^oC; ^1H NMR (500 MHz, $CDCl_3$) δ 8.43 (dd, *J* = 5.0, 1.2 Hz, 1H), 7.86 – 7.79 (m, 3H), 7.64 (m, 1H), 7.57 (t, *J* = 7.7 Hz, 2H), 7.49 (dd, *J* = 7.0, 1.5 Hz, 1H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.33 – 7.25 (m, 3H), 7.12 – 7.05 (m, 3H), 7.00 (dd, *J* = 16.2, 9.3 Hz, 3H), 6.94 (t, *J* = 7.2 Hz, 1H), 6.27 (d, *J* = 11.1 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.5, 148.1, 142.8, 138.8, 138.3, 136.2, 133.9, 130.3, 128.8, 128.1, 127.4, 127.2, 126.1, 125.2, 123.8, 121.7, 121.6, 121.2, 120.6, 120.2, 110.2, 67.4; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{26}H_{21}N_2O$: 377.1648, found: 377.1675; IR (KBr): 3409, 3026, 2927, 1589, 1488, 1434, 1367, 1149, 1039, 774, 756, 609 cm^{-1}.



5

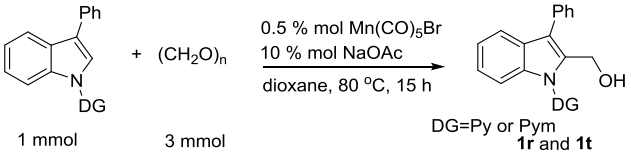
1-(3-Methyl-1-(pyridin-2-yl)-1H-indol-2-yl)ethan-1-ol (5): white solid; 673 mg, 89% yield; m.p. 83.7-85.9 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, *J* = 4.6 Hz, 1H), 7.97 (t, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 2H), 7.52 – 7.41 (m, 1H), 7.33 (t, *J* = 6.4 Hz, 1H), 7.24 (m, 2H), 6.54 (d, *J* = 8.8 Hz, 1H), 5.23 (t, *J* = 7.6 Hz, 1H), 2.48 – 2.42 (m, 3H), 1.29 (dd, *J* = 7.0, 2.0 Hz, 3H); 13C NMR (101 MHz, CDCl3) δ 152.3, 148.4, 139.2, 139.0, 136.1, 129.9, 123.2, 121.5, 121.0, 120.1, 119.5, 111.6, 109.9, 62.0, 22.2, 9.2; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{16}H_{15}N_2O$: 251.1190, found: 251.1188; IR (KBr): 3246, 3020, 2972, 2927, 1590, 1567, 1477, 1456, 1438, 1362, 1073, 1011, 906, 759, 746, 664 cm^{-1}.



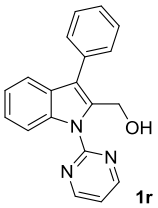
7

1-(3-Methyl-1-phenyl-1H-indol-2-yl)ethan-1-ol (7): light yellow power; 685 mg, 91% yield; m.p. 122.3-124.0 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 7.66 (m, 1H), 7.59 – 7.47 (m, 3H), 7.41 (d, *J* = 7.5 Hz, 2H), 7.25 – 7.14 (m, 2H), 7.08 – 7.01 (m, 1H), 5.06 (q, *J* = 6.8 Hz, 1H), 2.56 (s, 3H), 1.56 (d, *J* = 6.8 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.5, 138.3, 137.8, 129.5, 128.9, 128.8, 128.1, 122.3, 119.8, 118.6, 110.3, 109.0, 63.6, 22.9, 9.1; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{17}H_{18}NO$: 252.1383, found: 252.1374; IR (KBr): 3436, 3067, 2966, 1923, 1637, 1498, 1454, 1370, 1110, 1070, 760, 742, 700 cm^{-1}.

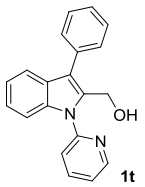
2. Procedure for the synthesis of primary alcohols 1r and 1t[5]



To a 35 mL pressure tube equipped with a stirring bar were added $[Mn(CO)_5Br]$ (2 mg, 0.005 mmol), $(CH_2O)n$ (90.0 mg, 3.0 mmol), NaOAc (8.0 mg, 0.10 mmol) and 3-phenylindoles (1.0 mmol) under air. The reaction vessel was evacuated and backfilled with argon for three times. Dioxane (1.0 mL) was added under an argon atmosphere, the tube was sealed and the reaction was stirred for 15 h at 80 ℃. The mixture was cooled to room temperature and concentrated afterwards. Purification by column chromatography on silica gel (eluent: pentane/ethyl acetate=15:1) afforded the pure desired product **1r** and **1t**.

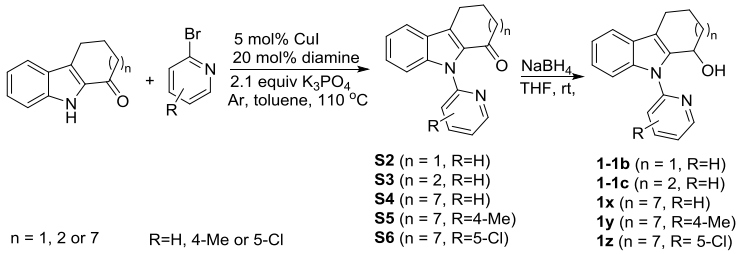


(3-Phenyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)methanol (1r): light yellow power; 200 mg, 66% yield; m.p. 138.1-138.9 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (d, *J* = 4.9 Hz, 2H), 8.59 (m, 1H), 7.75 (m, 3H), 7.61 – 7.55 (m, 2H), 7.48 – 7.39 (m, 2H), 7.32 (m, 1H), 7.15 (t, *J* = 4.9 Hz, 1H), 5.50 (t, *J* = 7.3 Hz, 1H), 4.74 (d, *J* = 7.3 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.4, 157. 8, 136.1, 135.7, 133.6, 130.2, 128.9, 128.7, 127.3, 124.7, 123.0, 122.8, 120.1, 116.8, 115.1, 55.7; HR-MS [ESI-MS(-)] calcd for [M - H]$^{-}$: $C_{19}H_{14}N_3O$: 300.1142, found: 300.1142; IR (KBr): 3262, 3042, 2929, 2883, 1565, 1453, 1430, 1268, 1231, 1010, 783, 728 cm^{-1}.

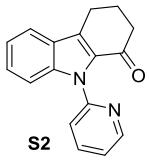


(3-Phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)methanol (1t): white power; 210 mg, 70% yield; m.p. 104.3-105.7 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, *J* = 4.2 Hz, 1H), 7.96 – 7.87 (m, 1H), 7.77 (d, *J* = 7.6 Hz, 1H), 7.69 (t, *J* = 9.0 Hz, 3H), 7.57 (d, *J* = 8.1 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 1H), 7.25 (m, 3H), 5.68 (t, *J* = 6.8 Hz, 1H), 4.58 (d, *J* = 6.6 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.3, 148.9, 139.2, 136.6, 135.6, 134.0, 130.0, 128.8, 128.3, 126.9, 123.8, 121.9, 121.6, 120.6, 119.7, 119.6, 110.5, 55.1; HR-MS [ESI-MS(-)] calcd for [M - H]$^{-}$: $C_{20}H_{15}N_2O$: 299.1190, found: 299.1190; IR (KBr): 3325, 3018, 2925, 2855, 1592, 1473, 1439, 1367, 1233, 1199, 1077, 1055, 924, 727, 704, 649 cm^{-1}.

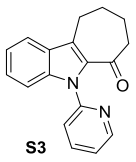
3. Procedure for the Synthesis of Indole-fused Cycloalcohols 1x, 1y, 1z, 1-1b and 1-1c



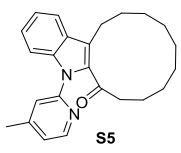
General Procedure for the Synthesis of Arylalkylketones S2~S6[6]**:** Indole-fused cycloketone (3.0 mmol) was coupled with 2-bromopyridine (3.6 mmol) using K_3PO_4•$3H_2O$ (1.68 g, 6.3 mmol), CuI (29 mg, 1.5 mmol, 5 mol %), and N_1,N_2-dimethylcyclohexane-1,2-diamine (85 mg, 6.0 mmol, 20 mol%). Flash chromatography on silica gel (hexane:ethyl acetate 20:1) provided of the desired product **S2~S6**.



9-(pyridin-2-yl)-2,3,4,9-tetrahydro-1H-carbazol-1-one (**S2**)[7]: 487 mg, 62% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 – 8.60 (m, 1H), 7.83 (m, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.36 (m, 3H), 7.23 (t, *J* = 7.4 Hz, 1H), 3.08 (t, *J* = 6.2 Hz, 2H), 2.67 (t, *J* = 6.5 Hz, 2H), 2.29 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 190.0, 151.5, 148.8, 140.0, 137.8, 132.7, 130.8, 127.8, 125.8, 122.5, 122.2, 121.5, 121.3, 112.2, 39.6, 24.5, 21.9.

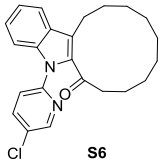


5-(Pyridin-2-yl)-7,8,9,10-tetrahydrocyclohepta[b]indol-6(5H)-one (**S3**): white solid; 432 mg, 55% yield; m.p. 108.7-110.0 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (dd, *J* = 5.0, 1.8 Hz, 1H), 7.87 (m, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.38 – 7.30 (m, 4H), 7.24 (m, 1H), 3.19 (dd, *J* = 6.9, 4.9 Hz, 2H), 2.87 (dd, *J* = 7.3, 4.8 Hz, 2H), 2.10 – 1.99 (m, 4H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.6, 152.3, 149.2, 139.4, 138.0, 134.8, 128.3, 127.4, 126.9, 122.2, 121.6, 121.2, 121.0, 111.3, 42.9, 25.8, 24.0, 22.3; HR-MS [ESI-MS(+)] calcd for [M + H]$^{+}$: $C_{18}H_{17}N_2O$: 277.1335, found: 277.1332; IR (KBr): 3465, 3051, 2926, 2854, 1747, 1704, 1649, 1589, 1468, 1220, 1150, 1135, 1049, 778, 744, 684 cm^{-1}.



5-(4-Methylpyridin-2-yl)-5,7,8,9,10,11,12,13,14,15-decahydro-6H-cyclododeca[b]indol-6-one (**S5**): colorless oily liquid; 724 mg, 67% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 5.1 Hz, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 1H), 7.34 (m, 1H), 7.25 – 7.19 (m, 2H), 7.11 (dd, *J* = 5.1, 1.5 Hz, 1H), 3.21 – 3.13 (m, 2H), 2.48 (s, 3H), 2.40 – 2.34 (m, 2H), 1.88 (m, 2H), 1.68 – 1.63 (m, 2H), 1.46 – 1.41 (m, 2H), 1.28 (m, 4H), 1.17 – 1.09 (m, 4H); ^{13}C NMR (101 MHz, $CDCl_3$) δ

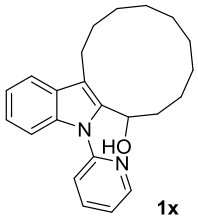
198.3, 152.2, 150.2, 149.1, 137.9, 137.7, 128.4, 125.7, 123.8, 122.9, 121.7, 121.3, 120.2, 111.3, 40.7, 27.1, 25.5, 24.1, 23.8, 23.6, 23.0, 22.8, 21.6; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{29}N_2O$: 361.2274, found: 361.2256; IR (KBr): 3444, 2926, 2856, 1719, 1670, 1633, 1448, 1383, 1259, 1129, 1059, 874, 824 cm^{-1}.



S6

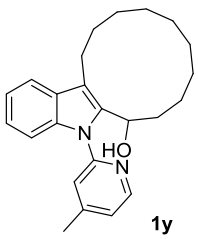
5-(5-Chloropyridin-2-yl)-5,7,8,9,10,11,12,13,14,15-decahydro-6H-cyclododeca[b]indol-6-one (**S6**): white power; 673 mg, 59% yield; m.p. 148.1-149.9 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (d, *J* = 2.5 Hz, 1H), 7.86 (dd, *J* = 8.5, 2.6 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.40 – 7.34 (m, 2H), 7.27 – 7.20 (m, 1H), 3.15 (t, *J* = 6.7 Hz, 2H), 2.46 – 2.40 (m, 2H), 1.91 – 1.83 (m, 2H), 1.68 (m, 2H), 1.45 (p, *J* = 7.0 Hz, 2H), 1.39 – 1.23 (m, 5H), 1.21 – 1.07 (m, 5H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.8, 150.3, 148.2, 138.3, 137.7, 137.3, 129.6, 128.5, 126.1, 124.7, 121.9, 121.7, 120.1, 111.1, 41.0, 27.2, 25.4, 24.3, 23.8, 23.6, 23.1, 22.7, 21.8; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{23}H_{26}ClN_2O$: 381.1728, found: 381.1718; IR (KBr): 3421, 3055, 2925, 2858, 1720, 1661, 1587, 1531, 1470, 1437, 1344, 1139, 1043, 909, 875 cm^{-1}.

General Procedure for the Synthesis of Secondary Alcohol Substrates 1x, 1y, 1z, 1-1b and 1-1c[3]: Indole-fused cycloketones **S2-S6**[6] (1.0 mmol) was dissolved in 20 mL THF, then $NaBH_4$ (5.0 mol) was added in portions, and stirred for 24-48 h at room temperature. The reaction was monitored by TLC to achieve full conversion, then was quenched by saturated NH_4Cl (aq), extracted by EtOAc for three times (3 × 5 mL), dried over Na_2SO_4, and evaporated in vacuum to afford the crude product, which was further purified by flash chromatography on silica gel with petroleum ether/EtOAc (20:1 ~ 5:1) to give the corresponding alcohols**.**



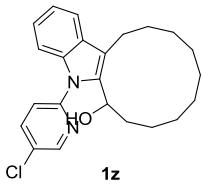
1x

5-(Pyridin-2-yl)-6,7,8,9,10,11,12,13,14,15-decahydro-5H-cyclododeca[b]indol-6-ol (1x): white power; 188 mg, 54% yield; m.p. 122.2-124.7 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (dd, *J* = 5.1, 1.9 Hz, 1H), 7.99 (m, 1H), 7.74 – 7.60 (m, 2H), 7.51 – 7.45 (m, 1H), 7.34 (dd, *J* = 7.4, 5.0 Hz, 1H), 7.27 – 7.17 (m, 2H), 6.57 (d, *J* = 10.7 Hz, 1H), 5.18 (m, 1H), 3.06 – 2.91 (m, 2H), 1.97 (m, 1H), 1.86 – 1.77 (m, 2H), 1.66 – 1.52 (m, 2H), 1.47 – 1.33 (m, 4H), 1.27 – 1.05 (m, 6H), 0.92 – 0.88 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.5, 148.3, 140.1, 139.3, 136.7, 129.7, 123.1, 121.6, 120.9, 120.2, 112.0, 116.8, 109.9, 62.4, 32.7, 28.0, 25.9, 23.7, 23.6, 22.9, 22.0, 21.7, 20.9; HR-MS [ESI-MS(-)] calcd for $[M - H]^-$: $C_{23}H_{27}N_2O$: 347.2129, found: 347.2127; IR (KBr): 3289, 3050, 2925, 2850, 1588, 1567, 1474, 1437, 1212, 992, 935, 786, 743, 681 cm^{-1}.



1y

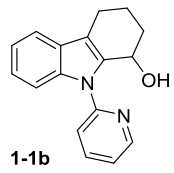
5-(4-Methylpyridin-2-yl)-6,7,8,9,10,11,12,13,14,15-decahydro-5H-cyclododeca[b]indol-6-ol (1y): colorless oily liquid; 290 mg, 80% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 5.1 Hz, 1H), 7.70 – 7.64 (m, 1H), 7.53 – 7.45 (m, 2H), 7.22 (m, 2H), 7.15 (dd, *J* = 5.2, 1.4 Hz, 1H), 6.71 (d, *J* = 10.9 Hz, 1H), 5.16 (m, 1H), 2.99 (m, 2H), 2.54 (s, 3H), 2.01 – 1.92 (m, 1H), 1.81 – 1.72 (m, 2H), 1.67 – 1.53 (m, 2H), 1.45 – 1.11 (m, 11H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.5, 151.0, 147.9, 140.2, 136.6, 129.0, 122.9, 122.8, 120.7, 120.7, 119.9, 116.5, 110.0, 62.4, 32.7, 28.1, 25.9, 23.7, 23.6, 22.9, 22.1, 21.7, 21.4, 20.9; HR-MS [ESI-MS(-)] calcd for $[M + H]^+$: $C_{24}H_{31}N_2O$: 363.2431, found: 363.2422; IR (KBr): 3447, 3055, 2929, 2855, 1606, 1560, 1456, 1425, 1350, 1316, 1183, 1048, 996, 825 cm^{-1}.



1z

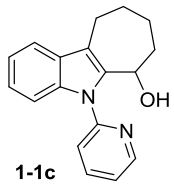
5-(5-Chloropyridin-2-yl)-6,7,8,9,10,11,12,13,14,15-decahydro-5H-cyclododeca[b]indol-6-ol (1z): yellow solid; 279 mg, 73% yield; m.p. 197.8-199.0 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, *J* = 2.6 Hz, 1H), 7.95 (dd, *J* = 8.6, 2.7 Hz, 1H), 7.66 (dd, *J* = 8.7, 2.8 Hz, 2H), 7.46 – 7.39 (m, 1H), 7.27 – 7.19 (m, 2H), 5.93 (s, 1H), 5.16 (dd, *J* = 9.7, 3.5 Hz, 1H), 3.06 – 2.86 (m, 2H), 1.95 (m, 1H), 1.78 (m, 3H), 1.62 (m, 1H), 1.47 – 1.12 (m, 11H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.8, 147.1, 139.9, 139.1, 136.6, 129.3, 129.1, 123.3, 121.2, 121.0, 120.1, 117.3, 109.7, 62.4, 32.7, 28.0, 25.9, 23.7, 23.6, 22.9, 22.0, 21.6, 20.9; HR-MS [ESI-MS(-)] calcd for $[M + H]^+$: $C_{23}H_{28}ClN_2O$: 383.1885,

found: 383.1887; IR (KBr): 3422, 3327, 2925, 2850, 1633, 1470, 1393, 1349, 1236, 1181, 1048, 994, 927, 835 cm^{-1}.



1-1b

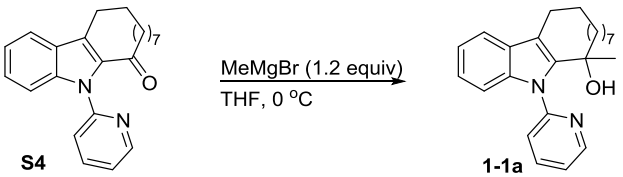
9-(Pyridin-2-yl)-2,3,4,9-tetrahydro-1H-carbazol-1-ol (1-1b): white solid; 180 mg, 68% yield; m.p. 105.2-107.0 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (dd, *J* = 5.1, 1.9 Hz, 1H), 7.94 (m, 1H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.62 (m, 2H), 7.30 – 7.22 (m, 3H), 6.25 (t, *J* = 1.7 Hz, 1H), 4.75 (d, *J* = 2.1 Hz, 1H), 2.97 (ddd, *J* = 16.1, 5.5, 2.1 Hz, 1H), 2.68 (ddd, *J* = 16.4, 11.4, 5.5 Hz, 1H), 2.34 – 2.14 (m, 2H), 2.02 – 1.86 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.3, 148.6, 139.0, 137.7, 135.5, 128.7, 123.2, 121.1, 120.7, 119.4, 118.5, 115.2, 110.4, 60.5, 31.0, 21.5, 18.0; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{17}H_{17}N_2O$: 265.1335, found: 265.1331; IR (KBr): 3296, 2946, 2920, 2852, 1590, 1474, 1444, 1371, 1308, 1227, 1168, 983, 756, 743 cm^{-1}.



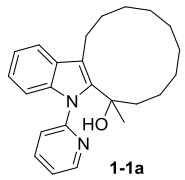
1-1c

5-(Pyridin-2-yl)-5,6,7,8,9,10-hexahydrocyclohepta[b]ind ol-6-ol (1-1c): white solid; 150 mg, 54% yield; m.p. 98.7-99.9 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (dd, *J* = 5.0, 1.9 Hz, 1H), 7.89 (m, 1H), 7.68 (dd, *J* = 6.4, 2.8 Hz, 1H), 7.62 (d, *J* = 8.1 Hz, 1H), 7.49 (m, 1H), 7.27 (m, 3H), 5.69 – 5.56 (m, 1H), 4.91 (m, 1H), 3.04 (m, 2H), 2.42 – 2.16 (m, 3H), 2.05 (t, *J* = 12.9 Hz, 1H), 1.92 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.4, 148.9, 139.4, 139.0, 135.3, 129.3, 123.0, 121.5, 120.9, 120.2, 119.3, 117.9, 110.0, 65.0, 32.1, 27.6, 23.1, 23.1; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{18}H_{19}N_2O$: 279.1492, found: 279.1490; IR (KBr): 3451, 2928, 1634, 1591, 1475, 1457, 1367, 1218, 1095, 1002, 775, 739 cm^{-1}.

4. Procedure for the Synthesis of Indole-fused Cycloalcohols 1-1a[8]



To a solution of **S4** (346 mg, 1.0 mmol) in 5 mL of THF was added MeMgBr (1.2 mmol, 1 M in THF) dropwise over 15 min at 0 ℃. This reaction mixture was stirred at 0 ℃ for 2 h and then quenched by saturated aqueous NH_4Cl (10 mL). After routine workup, the product was purified by flash chromatography over silica gel using hexanes/EA (6:1) as the eluent to get the desired indol alcohol as a colorless oily liquid (319 mg, 88% yield).

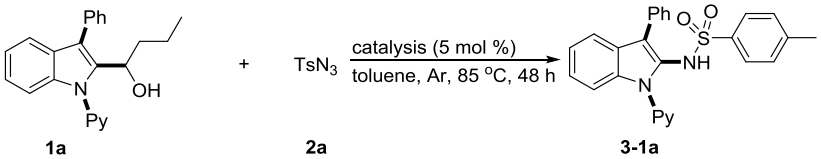


1-1a

6-Methyl-5-(pyridin-2-yl)-6,7,8,9,10,11,12,13,14,15-decahydro-5H-cyclododeca[b]indol-6-ol (1-1a): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 – 8.55 (m, 1H), 7.94 (m, 1H), 7.70 – 7.62 (m, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.37 (dd, *J* = 7.4, 5.0 Hz, 1H), 7.17 (p, *J* = 6.8 Hz, 2H), 7.08 (d, *J* = 7.2 Hz, 1H), 5.89 (s, 1H), 3.05 (t, *J* = 7.8 Hz, 2H), 2.11 (ddd, *J* = 13.7, 8.1, 5.4 Hz, 1H), 1.92 (m, 2H), 1.74 (m, 1H), 1.61 (s, 3H), 1.55 – 1.19 (m, 12H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.3, 148.6, 141.2, 139.0, 137.7, 130.2, 123.4, 122.6, 122.4, 120.4, 119.5, 115.4, 110.0, 73.7, 42.4, 28.7, 27.7, 26.9, 26.5, 26.0, 24.4, 23.9, 22.8, 22.7; HR-MS [ESI-MS(+)] calcd for $[M + H]^+$: $C_{24}H_{31}N_2O$: 363.2431, found: 363.2442; IR (KBr): 3377, 3052, 2926, 2860, 1587, 1467, 1436, 1350, 1310, 1195, 1147, 918 cm^{-1}.

III. Optimization of Reaction Conditions

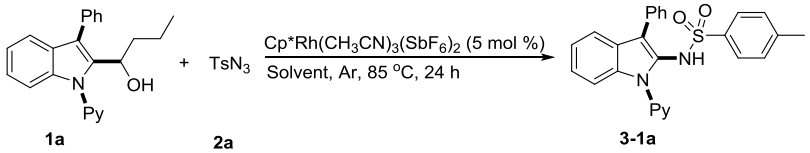
Table S1. Catalyst screening for the cross-coupling reaction of α-indolyl alcohol 1a with sulfonyl azide 2a[a]



entry	catalyst	yield (%)[b]
1	$[Cp^*RhCl_2]_2/AgSbF_6$	0
2	$Cp^*Co(CO)I_2/AgSbF_6$	0
3	$[RuCl_2(p\text{-cymene})]_2/AgSbF_6$	13
4	$Mn(CO)_5Br$	0
5	$[Rh(COD)Cl]_2/AgSbF_6$	0
6	$Rh(PPh)_3Cl$	0
7	$Pd(OAc)_2$	0
8	$Cp^*Rh(CH_3CN)_3(SbF_6)_2$	54

[a]All the reactions were carried out employing α-indolyl alcohol **1a** (68 mg, 0.20 mmol) and TsN_3 **2a** (79 mg, 0.4 mmol) as substrates in the presence of catalyst (5 mol %) in toluene (1.0 mL) at 85 °C for 48 h in a sealed reaction tube under Ar atmosphere, followed by flash chromatography on SiO_2. [b]Isolated yield.

Table S2. Various solvents screening for the cross-coupling reaction of α-indolyl alcohol 1a with sulfonyl azide 2a[a]

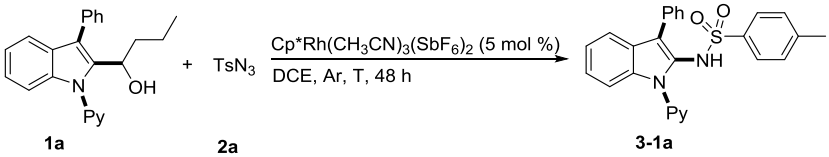


1a 2a 3-1a

entry	solvents	yield (%)[b]
1	THF	22
2	CH_3CN	trace
3	1,6-dioxane	25
4	EtOH	trace
5	CH_2Cl_2	89
6	DCE	92
7	toluene	54

[a]All the reactions were carried out employing α-indolyl alcohol **1a** (68 mg, 0.20 mmol) and TsN_3 **2a** (79 mg, 0.4 mmol) as substrates in the presence of $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (5 mol %) in solvent (1.0 mL) at 85 °C for 48 h in a sealed reaction tube under Ar atmosphere, followed by flash chromatography on SiO_2. [b]Isolated yield.

Table S3. The effect of the reaction temperature for the cross-coupling reaction of α-indolyl alcohol 1a with sulfonyl azide 2a[a]

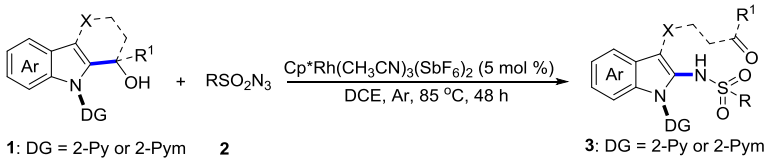


1a 2a 3-1a

entry	T(°C)	yield (%)[b]
1	75	86
2	85	92
3	95	84

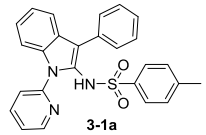
[a]All the reactions were carried out employing α-indolyl alcohol **1a** (68 mg, 0.20 mmol) and TsN_3 **2a** (79 mg, 0.4 mmol) as substrates in the presence of $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (5 mol %) in DCE (1.0 mL) at 75-95 °C for 48 h in a sealed reaction tube under Ar atmosphere, followed by flash chromatography on SiO_2. [b]Isolated yield.

IV. Detail Characterization for the Synthesis of Compounds 3



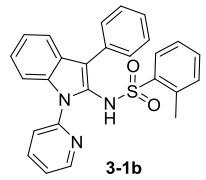
1: DG = 2-Py or 2-Pym **2** **3**: DG = 2-Py or 2-Pym

An oven-dried sealed tube charged **1** (0.20 mmol), **2** (0.40 mmol), Cp*Rh(CH_3CN)$_3$(SbF_6)$_2$ (5 mol %), and DCE (1.0 mL) was added under Ar atmosphere. The reaction mixture was then allowed to stir at 85 ℃ for 48 h. The corresponding reaction mixture was then cooled down and filtrated. The corresponding filtrate was further concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using ethyl acetate/petroleum ether (1:8 ~ 1:3) as eluent to afford the desired products **3**.



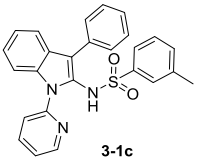
3-1a

4-Methyl-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1a)[2]**:** 81 mg, 92% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (s, 1H), 8.60 (dd, *J* = 4.9, 1.9 Hz, 1H), 7.80 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.50 (d, *J* = 8.2 Hz, 1H), 7.47 – 7.40 (m, 2H), 7.34 – 7.23 (m, 7H), 7.15 – 7.11 (m, 2H), 6.80 (d, *J* = 8.0 Hz, 2H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.1, 149.0, 143.0, 138.6, 136.2, 133.9, 132.8, 129.4, 129.1, 128.3, 126.8, 126.7, 126.6, 126.4, 124.1, 121.9, 121.4, 120.7, 119.8, 117.1, 110.3, 21.4.



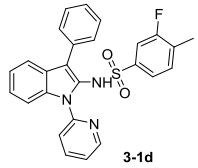
3-1b

2-Methyl-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1b)[2]**:** 78 mg, 89% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.45 (s, 0.84H), 8.74 (dd, *J* = 4.9, 1.3 Hz, 1H), 7.97 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.59 (d, *J* = 7.9 Hz, 1H), 7.53 (d, *J* = 8.3 Hz, 1H), 7.42 – 7.36 (m, 3H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.07 (m, 1H), 6.98 (m, 1H), 6.91 – 6.83 (m, 5H), 6.69 (d, *J* = 7.6 Hz, 1H), 2.18 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.0, 149.3, 139.1, 137.4, 137.1, 134.2, 132.4, 132.0, 129.3, 129.1, 129.1, 127.9, 126.5, 126.4, 126.2, 125.4, 124.2, 122.1, 121.6, 121.0, 120.7, 117.8, 110.1, 20.1.



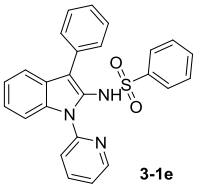
3-1c

3-Methyl-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1c)[2]**:** 70 mg, 80% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.89 (s, 0.89H), 8.64 – 8.58 (m, 1H), 7.81 (m, 1H), 7.75 (d, *J* = 7.9 Hz, 1H), 7.48 (dd, *J* = 9.9, 8.2 Hz, 3H), 7.32 (dd, *J* = 7.8, 2.0 Hz, 2H), 7.30 – 7.28 (m, 2H), 7.28 – 7.22 (m, 3H), 7.14 – 7.02 (m, 3H), 6.95 (t, *J* = 7.7 Hz, 1H), 2.12 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.9, 148.9, 139.3, 138.8, 138.5, 133.8, 133.1, 132.6, 129.3, 128.3, 128.2, 127.0, 126.6, 126.5, 126.4, 124.1, 124.0, 121.8, 121.4, 120.7, 120.0, 117.1, 110.2, 21.1.



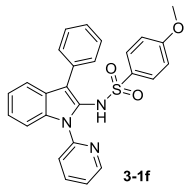
3-1d

3-Fluoro-4-methyl-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1d)[2]**:** 78 mg, 84% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.04 (s, 0.82H), 8.65 (dd, *J* = 4.9, 1.1 Hz, 1H), 7.89 (m, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.52 (d, *J* = 8.3 Hz, 1H), 7.47 (d, *J* = 8.1 Hz, 1H), 7.35 – 7.29 (m, 4H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.19 (m, *J* = 4.0, 2.1 Hz, 3H), 6.98 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.90 – 6.77 (m, 2H), 2.19 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 159.0, 150.0, 149.1, 138.8, 138.5 (d, *J* = 5.6 Hz), 134.0, 132.5, 131.3 (d, *J* = 3.8 Hz), 130.1 (d, *J* = 13.7 Hz), 129.3, 128.2, 126.5, 126.4, 126.1, 124.3, 122.6 (d, *J* = 2.8 Hz), 121.9 (d, *J* = 18.2 Hz), 120.7, 120.1, 117.2, 113.9, 113.7, 110.3, 14.7.

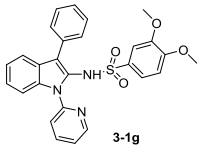


3-1e

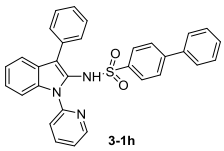
***N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1e)**[2]**:** 63 mg, 74% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.22 (s, 0.86H), 8.56 (d, *J* = 4.5 Hz, 1H), 7.74 (t, *J* = 7.7 Hz, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.43 (d, *J* = 8.2 Hz, 1H), 7.30 – 7.24 (m, 4H), 7.22 – 7.16 (m, 5H), 7.12 (s, 3H), 6.94 (t, *J* = 7.5 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.9, 149.0, 139.5, 139.0, 133.9, 132.6, 132.2, 129.4, 129.0, 128.4, 128.3, 126.6, 126.6, 126.3, 124.1, 121.9, 121.6, 120.7, 120.1, 117.2, 110.2.



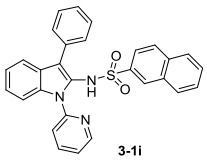
4-Methoxy-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1f)[2]**:** 83 mg, 91% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.77 (s, 0.92H), 8.62 (d, *J* = 4.8 Hz, 1H), 7.83 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.49 (dd, *J* = 22.5, 5.1 Hz, 3H), 7.39 – 7.35 (m, 1H), 7.33 – 7.27 (m, 4H), 7.27 – 7.21 (m, 2H), 7.18 (d, *J* = 8.8 Hz, 2H), 6.47 (d, *J* = 8.5 Hz, 2H), 3.77 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.6, 150.1, 149.0, 138.7, 133.9, 132.8, 130.6, 129.4, 128.9, 128.3, 126.6, 126.5, 124.1, 121.8, 121.4, 120.6, 119.8, 119.7, 116.9, 113.6, 110.3, 55.4.



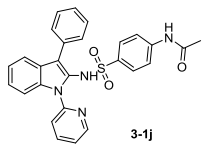
3,4-Dimethoxy-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1g)[2]**:** 86 mg, 89% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.85 (s, 0.95H), 8.64 – 8.59 (m, 1H), 7.84 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.51 (d, *J* = 8.3 Hz, 1H), 7.42 (dd, *J* = 6.3, 1.8 Hz, 2H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.33 – 7.23 (m, 6H), 6.90 (dd, *J* = 8.5, 2.1 Hz, 1H), 6.66 (d, *J* = 2.1 Hz, 1H), 6.46 (d, *J* = 8.5 Hz, 1H), 3.85 (s, 3H), 3.57 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.3, 150.0, 148.9, 148.2, 138.7, 133.8, 132.7, 130.6, 129.3, 128.1, 126.6, 126.5, 126.5, 124.1, 121.9, 121.4, 121.0, 120.6, 119.8, 117.0, 110.3, 109.9, 108.9, 56.0, 55.6.



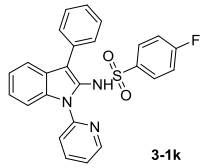
***N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)-[1,1'-biphenyl]-4-sulfonamide (3-1h)**[2]**:** 83 mg, 82% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.10 (s, 0.84H), 8.65 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.78 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.53 – 7.49 (m, 5H), 7.45 (m, 1H), 7.40 (dd, *J* = 7.5, 1.8 Hz, 2H), 7.38 – 7.32 (m, 3H), 7.32 – 7.26 (m, 3H), 7.24 – 7.19 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.0, 149.1, 144.9, 139.3, 138.8, 137.9, 133.9, 132.6, 129.4, 129.0, 128.4, 128.3, 127.2, 127.1, 127.0, 126.6, 126.4, 126.3, 124.2, 121.9, 121.6, 120.7, 120.1, 117.3, 110.3.



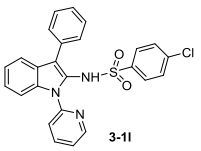
***N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)naphthalene-2-sulfonamide (3-1i)**[2]**:** 80 mg, 84% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.99 (s, 0.85H), 8.54 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.76 (s, 1H), 7.71 (d, *J* = 8.1 Hz, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.47 (dd, *J* = 10.9, 4.0 Hz, 1H), 7.44 – 7.37 (m, 3H), 7.32 (d, *J* = 7.1 Hz, 2H), 7.23 (m, 2H), 7.18 (t, *J* = 7.2 Hz, 1H), 7.11 – 7.01 (m, 4H), 6.96 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.8, 148.8, 138.4, 136.2, 134.6, 133.8, 132.5, 131.8, 129.2, 129.2, 128.6, 128.6, 128.2, 128.1, 127.5, 126.9, 126.6, 126.4, 126.3, 124.1, 122.0, 121.8, 121.4, 120.7, 119.6, 117.2, 110.2.



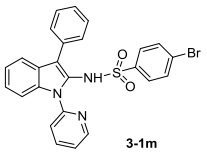
***N*-(4-(*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)sulfamoyl)phenyl)acetamide (3-1j)**[2]**:** 48 mg, 50% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.86 (s, 0.79H), 8.58 – 8.49 (m, 1H), 7.73 (dd, *J* = 14.7, 7.6 Hz, 2H), 7.66 (s, 1H), 7.54 (d, *J* = 7.9 Hz, 2H), 7.46 (d, *J* = 8.2 Hz, 1H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.27 – 7.19 (m, 4H), 7.08 (q, *J* = 9.0 Hz, 4H), 2.11 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.7, 149.8, 148.7, 141.9, 139.0, 133.6, 133.2, 132.8, 129.4, 128.4, 127.7, 126.7, 126.6, 126.2, 124.2, 122.0, 121.4, 120.6, 119.4, 119.0, 117.1, 110.3, 24.6.



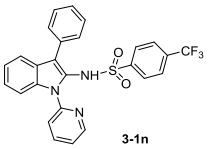
4-Fluoro-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1k)[2]**:** 64 mg, 73% yield. ^{1}H NMR (500 MHz, Chloroform-*d*) δ 9.12 (s, 0.91H), 8.66 (dd, *J* = 5.1, 1.8 Hz, 1H), 7.92 (m, 1H), 7.74 – 7.68 (m, 1H), 7.54 – 7.47 (m, 2H), 7.36 – 7.32 (m, 2H), 7.26 (m, 5H), 7.21 – 7.15 (m, 3H), 6.66 (t, *J* = 8.6 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.8 (d, *J* = 202 Hz), 150.0, 149.2, 139.0, 135.4, 134.0, 132.5, 129.6 (d, *J* = 8.0 Hz), 129.3, 128.3, 126.6, 126.5, 126.1, 124.4, 122.0, 121.8, 120.8, 120.3 (d, *J* = 3.0 Hz), 117.3, 115.5 (d, *J* = 18 Hz), 110.3.



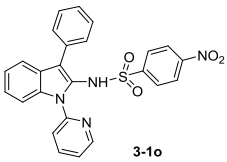
4-Chloro-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1l)[2]**:** 78 mg, 85% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.11 (s, 0.80H), 8.64 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.92 (m, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.52 (d, *J* = 8.3 Hz, 1H), 7.46 (d, *J* = 8.1 Hz, 1H), 7.37 – 7.32 (m, 2H), 7.28 – 7.14 (m, 8H), 7.01 – 6.87 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.0, 149.1, 139.0, 138.9, 137.7, 134.0, 132.4, 129.3, 128.6, 128.3, 128.2, 126.6, 126.5, 126.0, 124.4, 122.0, 121.8, 120.8, 120.2, 117.4, 110.3.



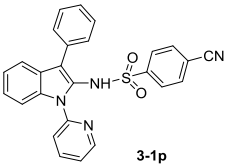
4-Bromo-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1m)[2]: 68 mg, 68% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.91 (s, 0.80H), 8.62 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.91 (m, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.52 (d, *J* = 8.3 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.38 – 7.35 (m, 2H), 7.35 – 7.30 (m, 2H), 7.29 – 7.24 (m, 4H), 7.16 – 7.07 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.8, 149.2, 139.1, 138.5, 134.2, 132.2, 131.5, 129.2, 128.2, 127.3, 126.4, 126.4, 125.9, 124.4, 122.1, 121.9, 120.9, 120.8, 117.5, 110.2.



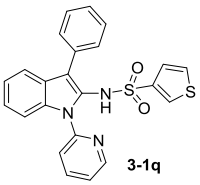
***N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)-4-(trifluoromethyl)benzenesulfonamide (3-1n)[2]:** 50 mg, 51% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.43 (s, 0.81H), 8.67 (dd, *J* = 5.1, 2.2 Hz, 1H), 7.92 (m, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.50 (m, 2H), 7.36 (dd, *J* = 7.8, 1.7 Hz, 4H), 7.25 (t, *J* = 7.4 Hz, 3H), 7.12 (m, 3H), 7.04 (t, *J* = 7.7 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.8, 149.2, 143.1, 139.2, 134.1, 133.8, 133.5, 132.1, 129.1, 128.2, 127.2, 126.7, 126.4, 125.6, 125.4 (d, *J* = 3.0 Hz), 124.4, 122.0, 122.0, 120.8, 120.6, 117.5, 110.2.



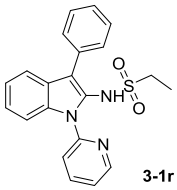
4-Nitro-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1o)[2]: 46 mg, 50% yield. ^{1}H NMR (500 MHz, DMSO) δ 11.06 (s, 0.73H), 8.63 (dd, *J* = 4.8, 1.1 Hz, 1H), 8.03 (m, 1H), 7.91 (d, *J* = 8.8 Hz, 2H), 7.58 (d, *J* = 7.9 Hz, 2H), 7.54 (d, *J* = 8.3 Hz, 1H), 7.49 (d, *J* = 8.8 Hz, 2H), 7.44 (dd, *J* = 6.9, 5.0 Hz, 1H), 7.39 (d, *J* = 7.2 Hz, 2H), 7.28 (dd, *J* = 11.3, 4.0 Hz, 1H), 7.20 (m, 3H), 7.14 (t, *J* = 7.3 Hz, 1H); ^{13}C NMR (126 MHz, DMSO) δ 149.89, 149.53, 146.2, 139.1, 134.8, 132.5, 129.4, 128.7, 128.1, 126.7, 125.5, 125.4, 124.6, 124.3, 122.9, 122.0, 121.9, 119.9, 116.8, 112.1, 79.6.



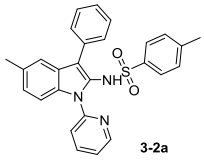
4-Cyano-*N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-1p)[2]: 59 mg, 65% yield. ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.11 (s, 0.89H), 8.74 (dd, *J* = 5.0, 1.2 Hz, 1H), 8.04 (m, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 9.1 Hz, 1H), 7.52 (d, *J* = 8.3 Hz, 1H), 7.48 – 7.39 (m, 2H), 7.30 – 7.24 (m, 3H), 7.22 – 7.16 (m, 2H), 7.03 (t, *J* = 7.4 Hz, 1H), 6.81 (t, *J* = 7.7 Hz, 2H), 6.72 (d, *J* = 7.4 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.6, 149.4, 144.1, 139.5, 134.4, 131.9, 131.9, 129.0, 128.1, 127.2, 126.4, 126.2, 125.3, 124.6, 122.8, 122.0, 121.9, 120.9, 117.5, 117.4, 115.5, 110.1.



***N*-(3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)thiophene-3-sulfonamide (3-1q):** 72 mg, 84% yield; m.p. 112.8-114.6 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (s, 0.91H), 8.62 (m, 1H), 7.84 (m, 1H), 7.78 (m, 1H), 7.55 – 7.49 (m, 3H), 7.38 – 7.31 (m, 4H), 7.30 – 7.21 (m, 4H), 6.91 (dd, *J* = 3.8, 1.4 Hz, 1H), 6.62 (dd, *J* = 5.0, 3.8 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.0, 149.1, 140.0, 138.9, 133.8, 132.8, 132.4, 132.2, 129.5, 128.4, 127.1, 126.8, 126.7, 126.1, 124.3, 122.0, 121.4, 120.8, 119.6, 117.4, 110.3; HR-MS (ESI) calcd for [M - H]$^-$: $C_{23}H_{16}N_3O_2S_2$: 430.0689, found: 430.0687; IR (KBr): 3047, 2921, 2850, 1471, 1455, 1335, 1222, 1148, 1017, 966, 882, 736, 716 cm^{-1}.

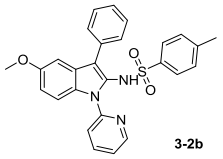


***N*-(3-Phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)ethanesulfonamide (3-1r)[2]:** 58 mg, 77% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.48 (s, 0.90H), 8.65 – 8.58 (m, 1H), 8.02 (m, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.50 (d, *J* = 8.2 Hz, 1H), 7.42 – 7.35 (m, 2H), 7.31 – 7.28 (m, 1H), 7.21 (t, *J* = 7.3 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 2H), 7.04 (d, *J* = 7.2 Hz, 2H), 2.19 (q, *J* = 7.3 Hz, 2H), 0.86 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.7, 149.2, 139.3, 134.5, 132.7, 129.6, 128.5, 127.1, 126.9, 126.4, 124.1, 122.6, 122.0, 121.7, 120.5, 116.5, 110.3, 48.0, 7.8.



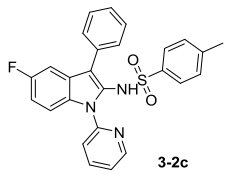
4-Methyl-*N*-(5-methyl-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2a): white solid; 79 mg, 87% yield; m.p. 189.0-191.5 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.05 (s, 0.87H), 8.60 (d, *J* = 4.6 Hz, 1H), 7.79 (t, *J* = 7.7 Hz, 1H), 7.53 (s, 1H), 7.41 (t, *J* = 6.5 Hz, 3H), 7.31 (d, *J* = 8.3 Hz, 1H), 7.29 – 7.21 (m, 4H), 7.19 – 7.10 (m, 3H), 6.80 (d, *J* = 8.0

Hz, 2H), 2.47 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.2, 148.9, 142.9, 138.5, 136.3, 132.9, 132.1, 131.3, 129.5, 129.0, 128.2, 126.9, 126.8, 126.5, 126.3, 125.5, 121.3, 120.3, 119.6, 116.7, 110.1, 21.4, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_2S$: 454.1589, found: 454.1560; IR (KBr): 3027, 2851, 1593, 1474, 1441, 1331, 1183, 1092, 1160, 1092, 877, 812, 699 cm^{-1}.



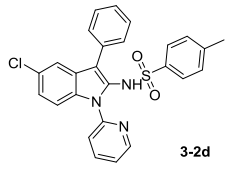
3-2b

***N*-(5-Methoxy-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2b):** colorless solid; 86 mg, 92% yield; m.p. 194.5-195.7 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.89 (s, 0.95H), 8.57 (d, *J* = 4.8 Hz, 1H), 7.78 (dd, *J* = 13.6, 5.8 Hz, 1H), 7.45 (d, *J* = 7.3 Hz, 2H), 7.39 (d, *J* = 9.0 Hz, 1H), 7.32 – 7.22 (m, 5H), 7.15 (dd, *J* = 14.8, 5.1 Hz, 3H), 6.94 (dd, *J* = 9.0, 2.2 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 2H), 3.83 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.6, 150.1, 148.9, 142.9, 138.6, 136.3, 132.9, 129.3, 129.0, 128.3, 127.2, 126.9, 126.7, 126.4, 126.3, 121.3, 119.7, 116.8, 114.0, 111.3, 102.2, 55.8, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_3S$: 470.1538, found: 470.1516; IR (KBr): 3047, 2993, 2832, 1592, 1474, 1329, 1159, 1091, 881, 809 cm^{-1}.



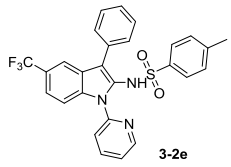
3-2c

***N*-(5-Fluoro-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2c):** light yellow solid; 69 mg, 75% yield; m.p. 213.4-215.8 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.90 (s, 0.84H), 8.48 (d, *J* = 4.8 Hz, 1H), 7.71 (t, *J* = 7.8 Hz, 1H), 7.29 (dd, *J* = 9.0, 4.2 Hz, 1H), 7.25 – 7.20 (m, 2H), 7.15 (dd, *J* = 10.9, 6.8 Hz, 3H), 7.08 (s, 3H), 7.00 (d, *J* = 8.1 Hz, 2H), 6.91 (m, 1H), 6.67 (d, *J* = 8.0 Hz, 2H), 2.15 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.2, 157.8, 149.9, 149.1, 143.1, 138.8, 136.4, 132.3, 130.4, 129.1 (d, *J* = 7.4 Hz), 128.3, 127.9, 127.3 (d, *J* = 9.8 Hz), 126.8, 126.6, 121.8, 120.1, 116.8 (d, *J* = 4.4 Hz), 112.3 (d, *J* = 25.8 Hz), 111.4 (d, *J* = 9.2 Hz), 105.8 (d, *J* = 24.2 Hz), 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{26}H_{21}FN_3O_2S$: 458.1333, found: 458.1331; IR (KBr): 3031, 2777, 1590, 1472, 1374, 1333, 1162, 1090, 962, 796, 701 cm^{-1}.



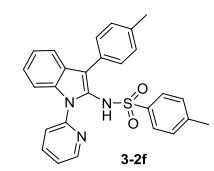
3-2d

***N*-(5-chloro-3-phenyl-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2d):** colorless solid; 77 mg, 81% yield; m.p. 210.9-213.8 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.98 (s, 0.94H), 8.47 (d, *J* = 4.1 Hz, 1H), 7.71 (m, 1H), 7.51 (d, *J* = 1.7 Hz, 1H), 7.25 (dd, *J* = 8.2, 6.2 Hz, 2H), 7.19 – 7.11 (m, 2H), 7.05 (s, 5H), 6.98 (d, *J* = 8.2 Hz, 2H), 6.66 (d, *J* = 8.1 Hz, 2H), 2.14 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.6, 149.1, 143.0, 138.9, 136.4, 132.3, 131.9, 129.2, 129.0, 128.3, 127.7, 127.7, 127.5, 126.7, 126.6, 124.2, 122.1, 120.3, 120.0, 116.3, 111.5, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{26}H_{21}N_3O_2SCl$: 474.1038, found: 474.1027; IR (KBr): 3025, 2750, 1594, 1472, 1455, 1424, 1332, 1156, 1091, 796, 703, 556 cm^{-1}.



3-2e

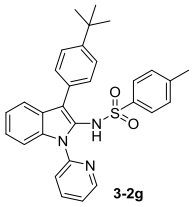
4-Methyl-*N*-(3-phenyl-1-(pyridin-2-yl)-5-(trifluoromethyl)-1H-indol-2-yl)benzenesulfonamide (3-2e): white solid; 61 mg, 60% yield; m.p. 218.6-219.9 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (s, 0.94H), 8.53 (d, *J* = 4.5 Hz, 1H), 7.84 (s, 1H), 7.79 (t, *J* = 7.7 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.25 (dd, *J* = 7.3, 5.1 Hz, 1H), 7.08 (dd, *J* = 11.2, 5.4 Hz, 5H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.69 (d, *J* = 8.0 Hz, 2H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.4, 149.2, 143.2, 139.1, 136.3, 135.4, 131.7, 129.2, 129.1, 128.4, 128.2, 126.8, 126.7, 126.2, 126.1, 124.8 (q, *J* = 92.2 Hz), 122.4, 120.7 (d, *J* = 3.4 Hz), 120.6, 118.3 (d, *J* = 4.2 Hz), 117.3, 110.8, 21.3; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{21}F_3N_3O_2S$: 508.1307, found: 508.1288; IR (KBr): 3036, 2808, 1747, 1598, 1475, 1329, 1163, 1111, 893, 805, 703 cm^{-1}.



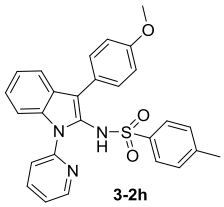
3-2f

4-Methyl-*N*-(1-(pyridin-2-yl)-3-(p-tolyl)-1H-indol-2-yl)benzenesulfonamide (3-2f): colorless solid; 79 mg, 87% yield; m.p. 170.9-172.6 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (s, 0.94H), 8.54 (d, *J* = 3.8 Hz, 1H), 7.74 (t, *J* = 7.3 Hz, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.32 – 7.17 (m, 6H), 7.08 (d, *J* = 8.0 Hz, 2H), 6.98 (d, *J* = 7.7 Hz, 2H), 6.74 (d, *J* = 7.9 Hz, 2H), 2.32 (s, 3H), 2.22 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.0, 149.0, 142.8, 138.6, 136.5, 136.0, 133.9, 129.7, 129.2, 128.9, 128.9, 126.8, 126.4, 124.0, 121.7, 121.4, 120.7, 119.9,

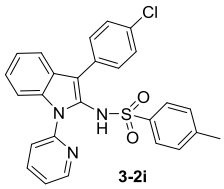
117.0, 110.2, 21.4, 21.3; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_2S$: 454.1584, found: 454.1587; IR (KBr): 3095, 2759, 1470, 1459, 1331, 1182, 1091, 963, 880, 806 cm^{-1}.



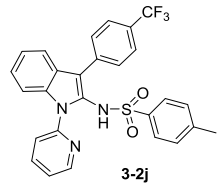
***N*-(3-(4-(*tert*-Butyl)phenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2g):** white solid; 84 mg, 84% yield; m.p. 148.9-191.5 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.85 (s, 0.88H), 8.64 (s, 1H), 7.80 (t, *J* = 7.6 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.49 (d, *J* = 8.2 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.29 – 7.23 (m, 2H), 7.17 (dd, *J* = 17.5, 7.7 Hz, 5H), 7.10 (d, *J* = 7.7 Hz, 2H), 6.74 (d, *J* = 7.7 Hz, 2H), 2.23 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.1, 149.1, 148.9, 142.7, 138.5, 136.1, 134.0, 129.5, 128.8, 128.8, 126.9, 126.7, 126.0, 124.9, 124.0, 121.6, 121.5, 121.0, 120.2, 117.5, 110.2, 34.4, 31.4, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{30}H_{30}N_3O_2S$: 496.2053, found: 496.2056; IR (KBr): 3283, 2957, 1592, 1470, 1454, 1326, 1165, 1091, 742, 676, 562 cm^{-1}.



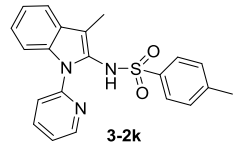
***N*-(3-(4-Methoxyphenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2h):** colorless solid; 64 mg, 69% yield; m.p. 134.3-136.0 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.87 (s, 0.83H), 8.57 (d, *J* = 2.9 Hz, 1H), 7.78 (t, *J* = 7.7 Hz, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.46 (d, *J* = 8.2 Hz, 1H), 7.35 – 7.19 (m, 6H), 7.10 (d, *J* = 7.6 Hz, 2H), 6.75 (dd, *J* = 20.0, 7.8 Hz, 4H), 3.80 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.4, 148.9, 143.5, 143.0, 139.2, 138.6, 136.4, 130.5, 129.7, 129.0, 126.9, 126.4, 125.1, 124.1, 121.8, 121.3, 120.7, 119.7, 116.8, 113.8, 110.3, 55.2, 21.5; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_3S$: 470.1533, found: 470.1541; IR (KBr): 3023, 2757, 1594, 1510, 1470, 1455, 1331,1240, 1178, 1089, 1025, 837, 741 cm^{-1}.



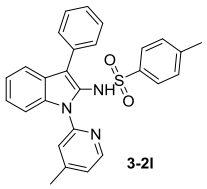
***N*-(3-(4-Chlorophenyl)-1-(pyridin-2-yl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2i):** light yellow solid; 78 mg, 82% yield; m.p. 171.9-173.0 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.52 (s, 0.95H), 8.64 (d, *J* = 4.7 Hz, 1H), 7.91 (t, *J* = 7.7 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.46 (m, 2H), 7.35 (dd, *J* = 13.2, 5.6 Hz, 2H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 7.9 Hz, 2H), 6.96 (s, 4H), 6.83 (d, *J* = 7.9 Hz, 2H), 2.33 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.7, 149.1, 143.2, 139.0, 136.9, 134.1, 132.2, 131.0, 130.5, 129.0, 128.2, 126.9, 126.7, 126.3, 124.2, 122.2, 122.0, 120.9, 120.4, 115.4, 110.4, 21.5; HR-MS (ESI) calcd for $[M + H]^+$: $C_{26}H_{21}N_3O_2SCl$: 474.1038, found: 474.1059; IR (KBr): 3038, 2756, 1595, 1492, 1475, 1455, 1375, 1335, 1319, 1159, 1091, 864, 734, 556 cm^{-1}.



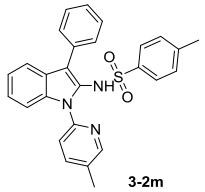
4-Methyl-*N*-(1-(pyridin-2-yl)-3-(4-(trifluoromethyl)phenyl)-1H-indol-2-yl)benzenesulfonamide (3-2j): colorless solid; 81 mg, 80% yield; m.p. 167.8-169.3 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.34 (s, 0.99H), 8.68 (d, *J* = 4.8 Hz, 1H), 7.93 (t, *J* = 7.7 Hz, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.52 (dd, *J* = 7.7, 5.4 Hz, 2H), 7.37 (t, *J* = 6.8 Hz, 2H), 7.27 (m, 5H), 7.11 (d, *J* = 8.0 Hz, 2H), 6.79 (d, *J* = 8.0 Hz, 2H), 2.26 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.7, 149.2, 143.3, 139.0, 136.4, 134.1, 129.3, 129.0, 127.9, 127.3, 126.8, 126.1, 125.7, 125.0 (q, *J* = 7.2), 124.9, 124.4, 122.2, 122.1, 120.6, 120.3, 115.4, 110.5, 21.2; HR-MS (ESI) calcd for $[M - H]^-$: $C_{27}H_{19}F_3N_3O_2S$: 506.1156, found: 506.1155; IR (KBr): 3033, 2756, 1479, 1456, 1320, 1158, 1123, 1068, 864, 734 cm^{-1}.



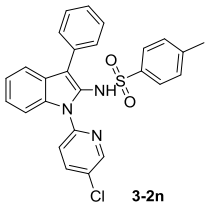
4-Methyl-*N*-(3-methyl-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2k): white solid; 62 mg, 82% yield; m.p. 154.8-156.2 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.88 (s, 0.90H), 8.40 (dd, *J* = 4.9, 0.9 Hz, 1H), 7.62 – 7.53 (m, 2H), 7.30 (dd, *J* = 8.4, 4.3 Hz, 1H), 7.22 – 7.16 (m, 2H), 7.09 (t, *J* = 8.8 Hz, 3H), 6.83 (dd, *J* = 14.0, 8.1 Hz, 3H), 2.39 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.1, 148.5, 143.0, 138.1, 136.1, 133.1, 129.2, 128.3, 127.0, 126.5, 123.6, 121.2, 120.3, 119.8, 118.2, 112.4, 109.8, 21.3, 8.8; HR-MS (ESI) calcd for $[M + H]^+$: $C_{21}H_{20}N_3O_2S$: 378.1276, found: 378.1261; IR (KBr): 3029, 2793, 1746, 1598, 1572, 1485, 1458, 1364, 1322, 1218, 1163, 1091, 870, 782, 741, 665 cm^{-1}.



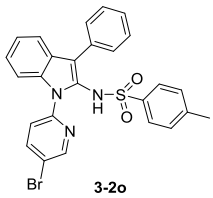
4-Methyl-*N*-(1-(4-methylpyridin-2-yl)-3-phenyl-1H-indol-2-yl)benzenesulfonamide (3-2l): colorless solid; 66 mg, 73% yield; m.p. 161.8-163.6 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.05 (s, 0.89H), 8.48 – 8.42 (m, 1H), 7.75 (d, *J* = 7.8 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 3H), 7.35 – 7.23 (m, 5H), 7.16 – 7.08 (m, 4H), 6.82 (d, *J* = 8.1 Hz, 2H), 2.44 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.6, 149.9, 148.4, 142.7, 136.5, 133.8, 132.8, 129.4, 128.9, 128.2, 126.8, 126.7, 126.6, 126.4, 123.9, 122.5, 121.8, 120.6, 120.1, 116.9, 110.3, 21.4, 21.3; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_2S$: 454.1584, found: 454.1573; IR (KBr): 3049, 2957, 1608, 1458, 1360, 1334, 1291, 1232, 1162, 1091, 818, 746, 703 cm^{-1}.



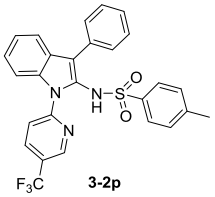
4-Methyl-*N*-(1-(5-methylpyridin-2-yl)-3-phenyl-1H-indol-2-yl)benzenesulfonamide (3-2m): colorless solid; 82 mg, 90% yield; m.p. 155.0-157.1 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.03 (s, 0.88H), 8.43 (d, *J* = 1.6 Hz, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.65 (dd, *J* = 8.2, 1.9 Hz, 1H), 7.48 (d, *J* = 8.3 Hz, 1H), 7.31 (dd, *J* = 10.6, 5.8 Hz, 4H), 7.24 (d, *J* = 7.8 Hz, 1H), 7.18 (m, 5H), 6.80 (d, *J* = 8.1 Hz, 2H), 2.46 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.0, 147.7, 142.8, 139.2, 136.5, 134.1, 132.8, 131.5, 129.3, 128.9, 128.1, 126.8, 126.5, 126.5, 126.2, 123.9, 121.6, 120.5, 119.8, 116.5, 110.3, 21.4, 18.1; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{24}N_3O_2S$: 454.1584, found: 454.1583; IR (KBr): 3028, 2922, 1598, 1563, 1489, 1458, 1378, 1326, 1226, 1160, 1090, 812, 789, 706, 549 cm^{-1}.



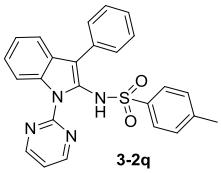
***N*-(1-(5-chloropyridin-2-yl)-3-phenyl-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2n):** white solid; 82 mg, 87% yield; m.p. 104.8-106.2 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, *J* = 2.2 Hz, 2H), 8.53 (s, 1H), 7.77 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.49 (d, *J* = 8.3 Hz, 1H), 7.32 (m, 5H), 7.24 – 7.16 (m, 5H), 6.84 (d, *J* = 8.0 Hz, 2H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 148.2, 147.8, 143.2, 138.4, 136.1, 134.0, 132.3, 129.7, 129.3, 129.1, 128.3, 126.9, 126.6, 126.5, 126.0, 124.4, 122.1, 121.0, 120.7, 117.7, 110.3, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{26}H_{21}N_3O_2SCl$: 474.1038, found: 474.1043; IR (KBr): 3045, 2957, 2748, 1466, 1391, 1336, 1182, 1163, 1090, 957, 744, 554 cm^{-1}.



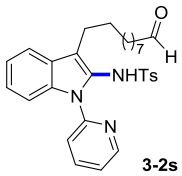
***N*-(1-(5-Bromopyridin-2-yl)-3-phenyl-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2o):** white solid; 90 mg, 87% yield; m.p. 113.8-115.2 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (d, *J* = 2.3 Hz, 1H), 8.05 (s, 1H), 7.78 (dd, *J* = 8.5, 2.4 Hz, 1H), 7.62 (d, *J* = 7.8 Hz, 1H), 7.40 – 7.34 (m, 3H), 7.24 – 7.11 (m, 6H), 7.08 (d, *J* = 8.2 Hz, 2H), 6.76 (d, *J* = 8.1 Hz, 2H), 2.21 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.9, 148.7, 143.3, 141.1, 136.0, 133.8, 132.4, 129.4, 129.1, 128.3, 126.9, 126.7, 126.6, 126.1, 124.4, 122.1, 120.9, 120.7, 117.8, 117.5, 110.2, 21.4; HR-MS (ESI) calcd for $[M - H]^-$: $C_{26}H_{19}N_3O_2SBr$: 516.0387, found: 516.0385; IR (KBr): 3042, 2925, 2850, 1604, 1461, 1385, 1334, 1160, 1091, 742, 553 cm^{-1}.



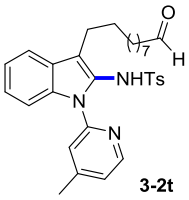
4-Methyl-*N*-(3-phenyl-1-(5-(trifluoromethyl)pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2p): white solid; 89 mg, 88% yield; m.p. 133.0-134.7 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.82 (s, 1H), 8.21 (s, 1H), 8.02 – 7.95 (m, 1H), 7.76 (d, *J* = 7.8 Hz, 1H), 7.55 (dd, *J* = 12.4, 7.8 Hz, 3H), 7.45 – 7.38 (m, 3H), 7.31 (dd, *J* = 16.4, 6.6 Hz, 3H), 7.18 (d, *J* = 8.1 Hz, 2H), 6.85 (d, *J* = 8.0 Hz, 2H), 2.30 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.8, 146.1, 146.0, 143.4, 135.8, 135.7, 135.6, 133.6, 132.2, 129.4, 129.2, 128.4, 127.0, 126.9, 126.2, 124.7, 123.5 (q, *J* = 46.6 Hz), 122.6, 120.9, 118.8, 118.6, 110.3, 21.3; HR-MS (ESI) calcd for $[M + H]^+$: $C_{27}H_{21}F_3N_3O_2S$: 508.1301, found: 508.1303; IR (KBr): 3284, 2927, 1740, 2854, 1604, 1493, 1456, 1327, 1166, 1136, 1082, 1016, 677, 558 cm^{-1}.



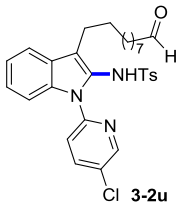
4-Methyl-*N*-(3-phenyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2q): colorless solid; 12 mg, 14% yield; m.p. 144.6-147.2 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.08 (s, 0.86H), 8.53 (d, *J* = 4.8 Hz, 2H), 8.29 (d, *J* = 8.3 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.48 (m, 2H), 7.30 (t, *J* = 7.5 Hz, 2H), 7.26 – 7.18 (m, 2H), 7.15 (d, *J* = 7.4 Hz, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 6.95 (t, *J* = 4.8 Hz, 1H), 6.72 (d, *J* = 8.0 Hz, 2H), 2.14 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 157. 8, 157.3, 143.3, 135.8, 134.4, 132.6, 129.7, 129.0, 128.4, 127.3, 127.0, 126.9, 126.7, 124.9, 122.9, 120.1, 119.7, 116.7, 114.6, 21.4; HR-MS (ESI) calcd for $[M + H]^+$: $C_{25}H_{21}N_4O_2S$: 441.1385, found: 441.1368; IR (KBr): 3041, 2925, 1566, 1455, 1425, 1340, 1167, 1087, 874, 750, 672 cm^{-1}.



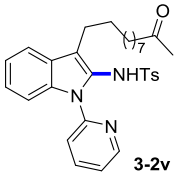
4-Methyl-*N*-(3-(10-oxodecyl)-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2s): colorless oily liquid; 65 mg, 63% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.79 (t, *J* = 1.9 Hz, 0.94H), 8.76 (s, 0.88H), 8.43 (dd, *J* = 5.0, 1.8 Hz, 0.96H), 7.72 – 7.67 (m, 1H), 7.59 (m, 1H), 7.37 – 7.31 (m, 1H), 7.25 – 7.19 (m, 2H), 7.16 – 7.09 (m, 3H), 6.84 (dd, *J* = 8.1, 4.5 Hz, 3H), 3.01 (dd, *J* = 9.3, 6.6 Hz, 2H), 2.44 (m, 2H), 2.30 (s, 3H), 1.83 (t, *J* = 7.7 Hz, 2H), 1.67 (t, *J* = 7.1 Hz, 3H), 1.51 – 1.36 (m, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 203.1, 150.1, 148.5, 143.0, 138.0, 136.2, 133.3, 129.2, 127.8, 126.7, 126.6, 123.5, 121.2, 120.4, 120.3, 118.3, 117.3, 109.9, 43.9, 29.9, 29.7, 29.5, 29.4, 29.4, 29.2, 24.0, 22.1, 21.4; HR-MS (ESI) calcd for $[M - H]^-$: $C_{30}H_{34}N_3O_3S$: 516.2326, found: 516.2325; IR (KBr): 3060, 2928, 1471, 1456, 1439, 1364, 1167, 965, 611 cm^{-1}.



4-Methyl-*N*-(1-(4-methylpyridin-2-yl)-3-(4-oxobutyl)-1H-indol-2-yl)benzenesulfonamide (3-2t): colorless oily liquid; 81 mg, 76% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.79 (t, *J* = 1.9 Hz, 0.93H), 8.82 (s, 0.90H), 8.29 (d, *J* = 5.1 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.32 (m, 1H), 7.24 – 7.18 (m, 2H), 7.14 – 7.08 (m, 2H), 6.94 (dd, *J* = 5.2, 1.5 Hz, 1H), 6.87 (d, *J* = 8.0 Hz, 2H), 6.60 (d, *J* = 1.5 Hz, 1H), 3.06 – 2.97 (m, 2H), 2.44 (m, 2H), 2.32 (d, *J* = 2.3 Hz, 6H), 1.82 (q, *J* = 7.8 Hz, 2H), 1.65 (q, *J* = 7.1 Hz, 3H), 1.53 – 1.36 (m, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 203.1, 150.1, 150.1, 148.1, 142.7, 136.3, 133.2, 129.1, 127.7, 126.8, 126.7, 123.3, 121.4, 121.0, 120.3, 118.7, 117.0, 109.9, 43.9, 29.9, 29.5, 29.4, 29.3, 29.2, 23.9, 22.1, 21.5, 21.2; HR-MS (ESI) calcd for $[M + H]^+$: $C_{31}H_{38}N_3O_3S$: 532.2628, found: 532.2607; IR (KBr): 3439, 3060, 2926, 2854, 2721, 1722, 1604, 1459, 1425, 1356, 1165, 1120, 814 cm^{-1}.



***N*-(1-(5-chloropyridin-2-yl)-3-(4-oxobutyl)-1H-indol-2-yl)-4-methylbenzenesulfonamide (3-2s):** colorless oily liquid; 77 mg, 70% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.79 (t, *J* = 1.9 Hz, 0.96H), 8.36 (d, *J* = 2.6 Hz, 1H), 8.29 (s, 0.97H), 7.72 – 7.66 (m, 1H), 7.53 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.33 – 7.27 (m, 1H), 7.26 – 7.21 (m, 2H), 7.19 (d, *J* = 8.3 Hz, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.84 (d, *J* = 8.6 Hz, 1H), 2.99 – 2.90 (m, 2H), 2.44 (m, 2H), 2.34 (s, 3H), 1.79 (m, 2H), 1.67 (dd, *J* = 13.9, 6.7 Hz, 2H), 1.48 – 1.33 (m, 10H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 203.1, 148.2, 147.3, 143.4, 137.8, 136.3, 133.3, 129.3, 128.4, 127.7, 126.74 126.3, 123.8, 121.4, 120.5, 119.3, 118.1, 109.8, 43.9, 29.9, 29.5, 29.4, 29.4, 29.2, 24.0, 22.2, 21.5; HR-MS (ESI) calcd for $[M + H]^+$: $C_{30}H_{35}ClN_3O_3S$: 552.2082, found: 552.2074; IR (KBr): 3438, 2923, 2853, 1632, 1459, 1385, 1102, 873, 812 cm^{-1}.

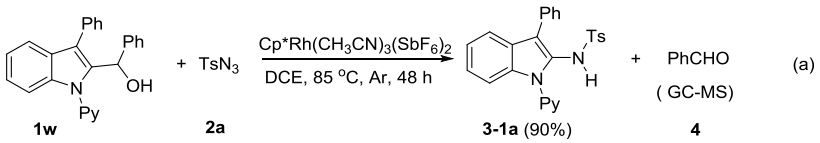


4-Methyl-*N*-(3-(4-oxopentyl)-1-(pyridin-2-yl)-1H-indol-2-yl)benzenesulfonamide (3-2v): colorless oily liquid; 82 mg, 79% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (s, 0.97H), 8.43 (d, *J* = 5.0 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.58 (m, 1H), 7.37 – 7.31 (m, 1H), 7.25 – 7.18 (m, 2H), 7.12 (d, *J* = 7.8 Hz, 3H), 6.84 (t, *J* = 7.6 Hz, 3H), 3.04 – 2.96 (m, 2H), 2.45 (t, *J* = 7.5 Hz, 2H), 2.29 (s, 3H), 2.16 (s, 3H), 1.81 (p, *J* = 7.6 Hz, 2H), 1.61 (q, *J* = 7.3 Hz, 2H), 1.49 – 1.30 (m, 10H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.6, 150.1, 148.5, 143.0, 138.0, 136.1, 133.3, 129.2, 127.7, 126.6, 126.6, 123.5, 121.2, 120.3, 120.3, 118.3, 117.3, 109.9, 43.9, 29.9, 29.9, 29.5, 29.5, 29.5, 29.4, 29.2, 24.0, 23.9, 21.4;

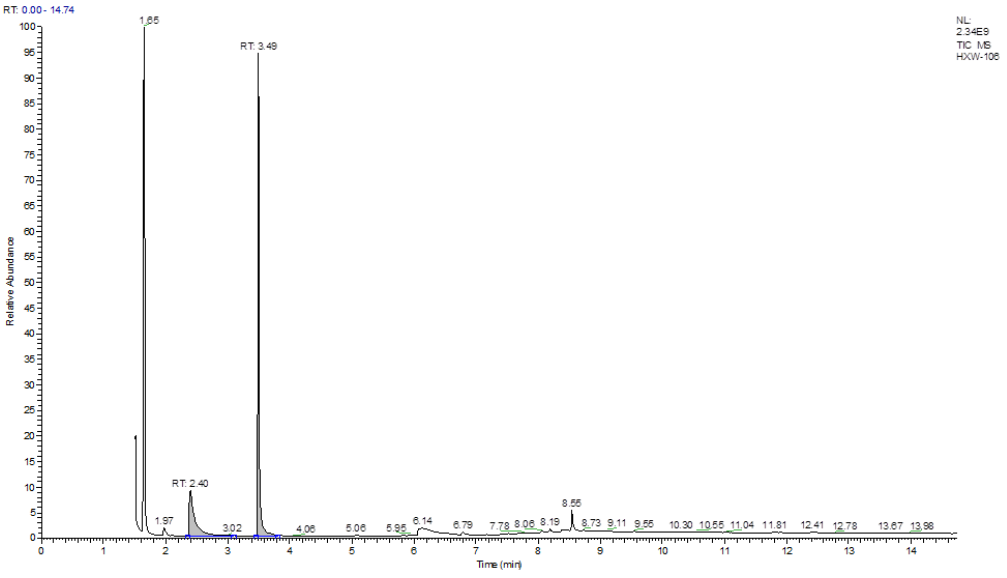
HR-MS (ESI) calcd for $[M + H]^+$: $C_{31}H_{38}N_3O_3S$: 532.2628, found: 532.2605; IR (KBr): 3252, 3059, 2927, 2854, 1710, 1591, 1471, 1439, 1364, 1165, 1092, 812 cm^{-1}.

V. Control Experiments for the Mechanism Studies

a) Isolation and characterization of benzaldehyde 4



To an oven-dried sealed tube charged with α-(2-indolyl)alcohol **1w** (76 mg, 0.2 mmol), TsN_3 **2a** (80 mg, 0.4 mmol), $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (9.5 mg, 5 mol %), DCE (1.0 mL) was added under Ar atmosphere. The reaction mixture was then allowed to stir at 85 ℃ for 48 h. After the reaction, 46 μL (0.2 mmol) of n-dodecane was added as internal standard to determine the yield of the side product benzaldehyde **4** (53% yield) by GC-MS. The corresponding reaction mixture was then cooled down and filtrated. The corresponding filtrate was further concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using ethyl acetate/petroleum ether = 1:5 as eluent to afford the desired product **3-1a** (80 mg, 90% yield).



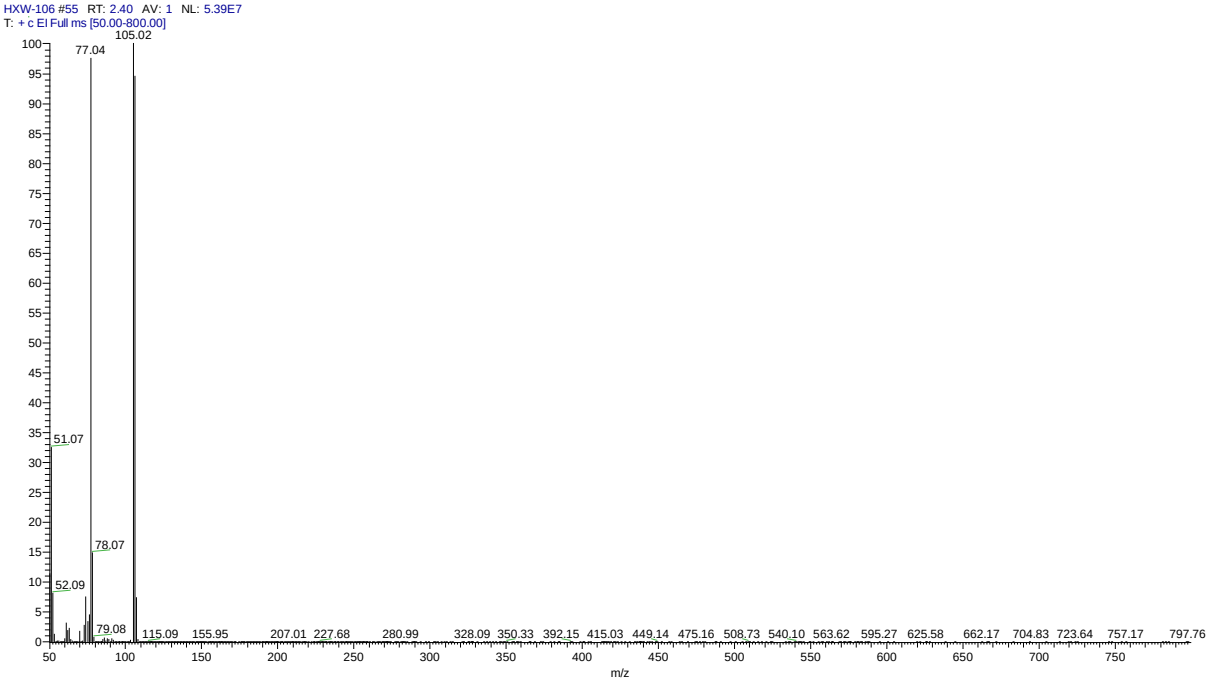
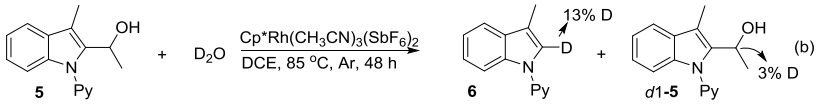


Figure S1. The GC-MS spectra of reaction mixture derived from **1w**

Table S4. The GC-MS yield of **4**

PEAK						
LIST						
HXW-106.raw						
RT: 1.63 - 5.03						
Number of detected peaks: 2						
Apex RT	Start RT	End RT	Area	%Area	Height	%Height
2.4	2.37	2.89	1.62E+09	34.56	2.18E+08	8.98
3.49	3.48	3.63	3.06E+09	65.44	2.21E+09	91.02

b) The H/D exchange of 1-(3-methyl-1-(pyridin-2-yl)-1H-indol-2-yl)ethan-1-ol (5) with D_2O



To an oven-dried sealed tube charged with α-(2-indolyl)alcohol **5** (51 mg, 0.20 mmol), $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (9.5 mg, 5 mol %), D_2O (8 mg, 0.4 mmol) and DCE (1.0 mL) was added under Ar atmosphere. The reaction mixture was then allowed to stir at 85 ℃ for 48 h. The corresponding reaction mixture was then cooled down and filtrated. The corresponding filtrate was further concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using ethyl acetate/petroleum ether = 1:15 as eluent to afford the 2-unsubstituted indole **6** (13% D) and *d1*-**5** (3% D).

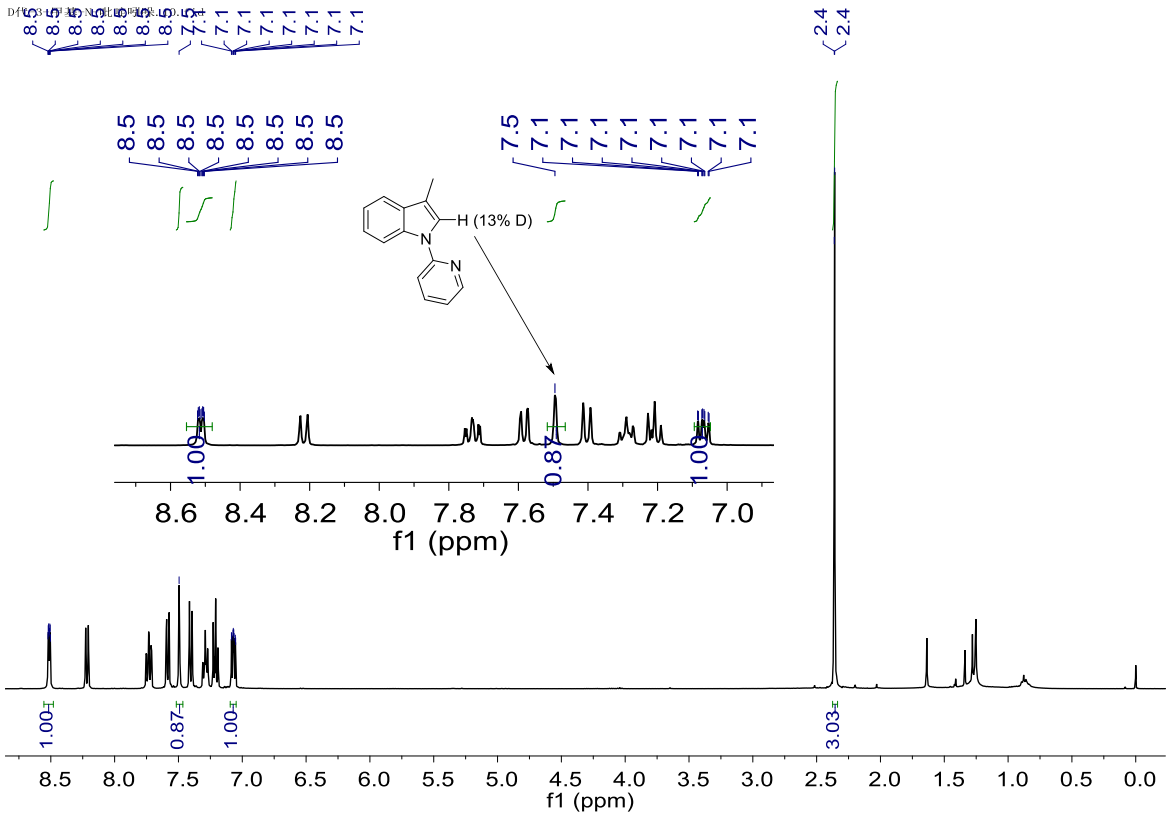


Figure S2. The ^{1}H NMR spectra of **6**

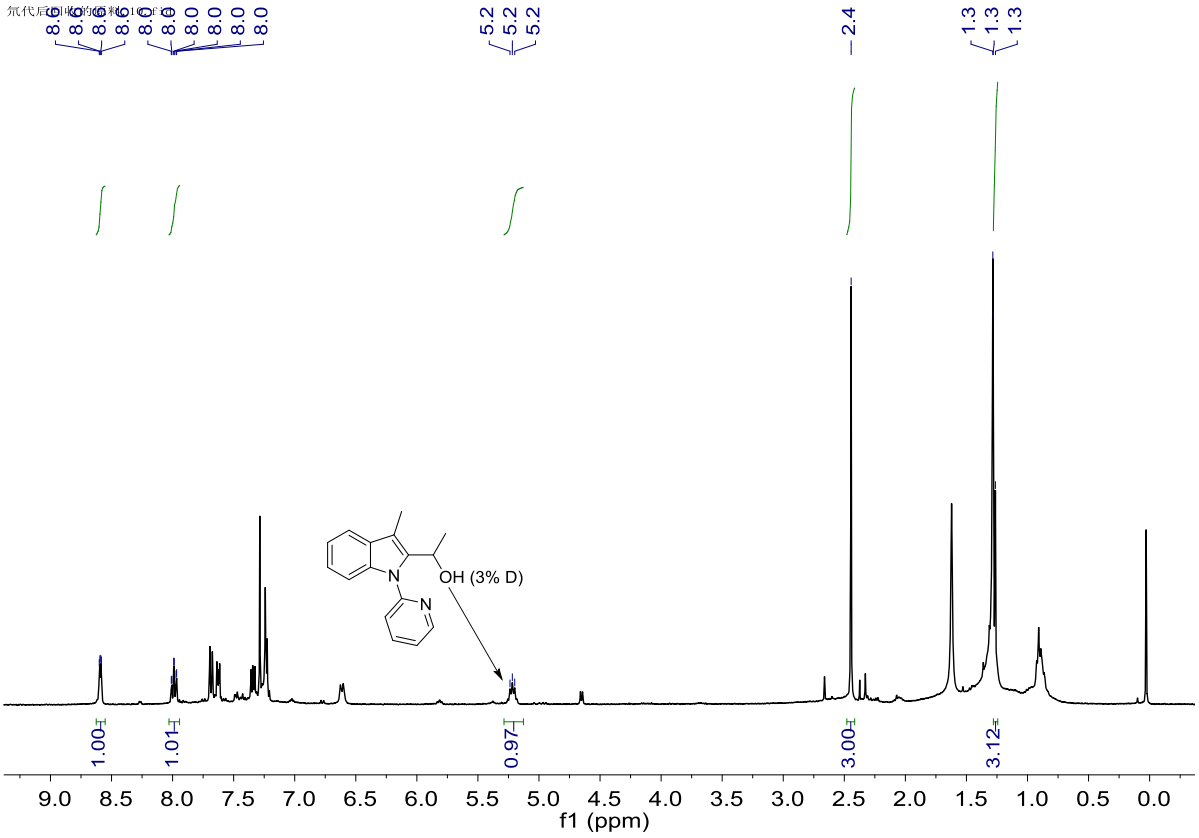
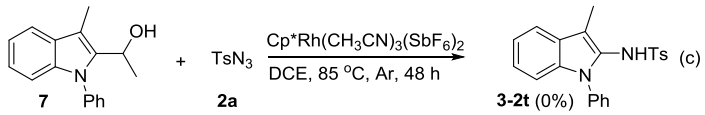


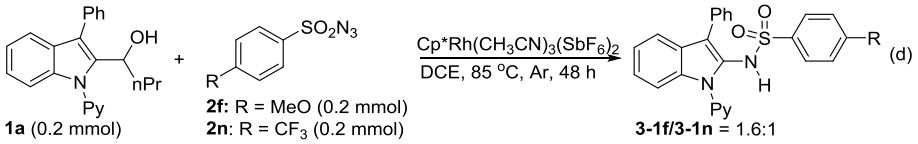
Figure S3. The ^{1}H NMR spectra of $\boldsymbol{d_1}$**-5**

c) The 1-(3-methyl-1-(pyridin-2-yl)-1H-indol-2-yl)ethan-1-ol (7) and azide (2a) under standard conditions



To an oven-dried sealed tube charged with α-(2-indolyl)alcohol **7** (50 mg, 0.20 mmol), TsN_3 **2a** (79 mg, 0.40 mmol), $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (9.5 mg, 5 mol %) and DCE (1.0 mL) was added under Ar atmosphere. The reaction mixture was then allowed to stir at 85 ℃ for 48 h. The corresponding reaction mixture was then cooled down and filtrated. The corresponding filtrate was further concentrated under reduced pressure, and no desired 2-aminoindole **3-2t** was detected.

d) Intermolecular competition experiment between 4-(methoxyphenyl)sulfonyl azide 2f and 4-(trifluoromethyl)phenylsulfonyl azide 2n



To an oven-dried sealed tube charged with α-(2-indolyl)alcohol **1a** (68 mg, 0.20 mmol), 4-methoxylphenylsulfonyl azide **2f** (43 mg, 0.20 mmol), 4-trifluoromethylphenylsulfonyl azide **2n** (52 mg, 0.20 mmol), $Cp^*Rh(CH_3CN)_3(SbF_6)_2$ (9.5mg, 5 mol %) and DCE (1.0 mL) was added under Ar atmosphere. The reaction mixture was then allowed to stir at 85 ℃ for 48 h. The corresponding reaction mixture was then cooled down and filtrated. The corresponding filtrate was further concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using ethyl acetate/petroleum ether (1:5) as eluent to afford the isolated product 2-aminoindole **3-1f** (52 mg, 57% yield) and **3-1n** (35 mg, 35% yield).

VI. References

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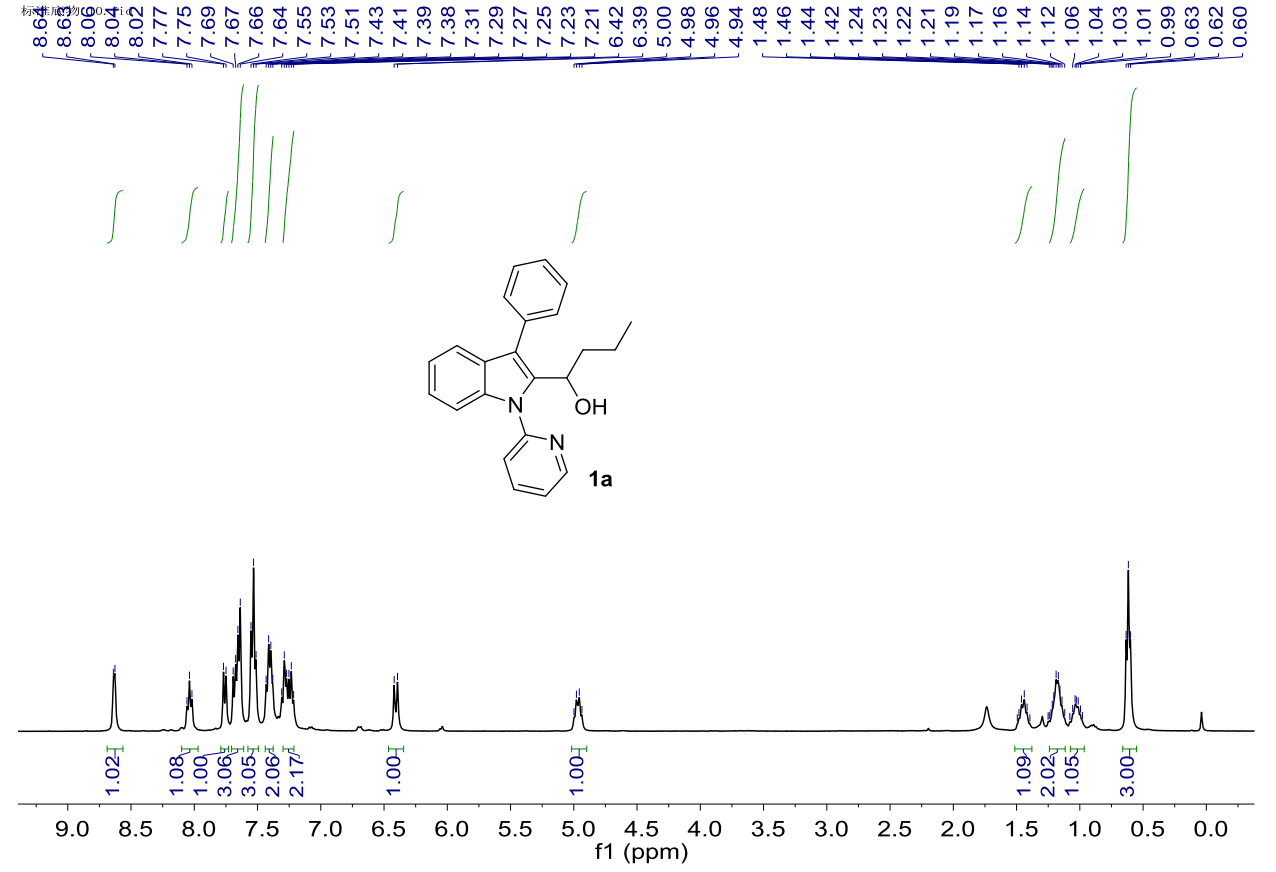
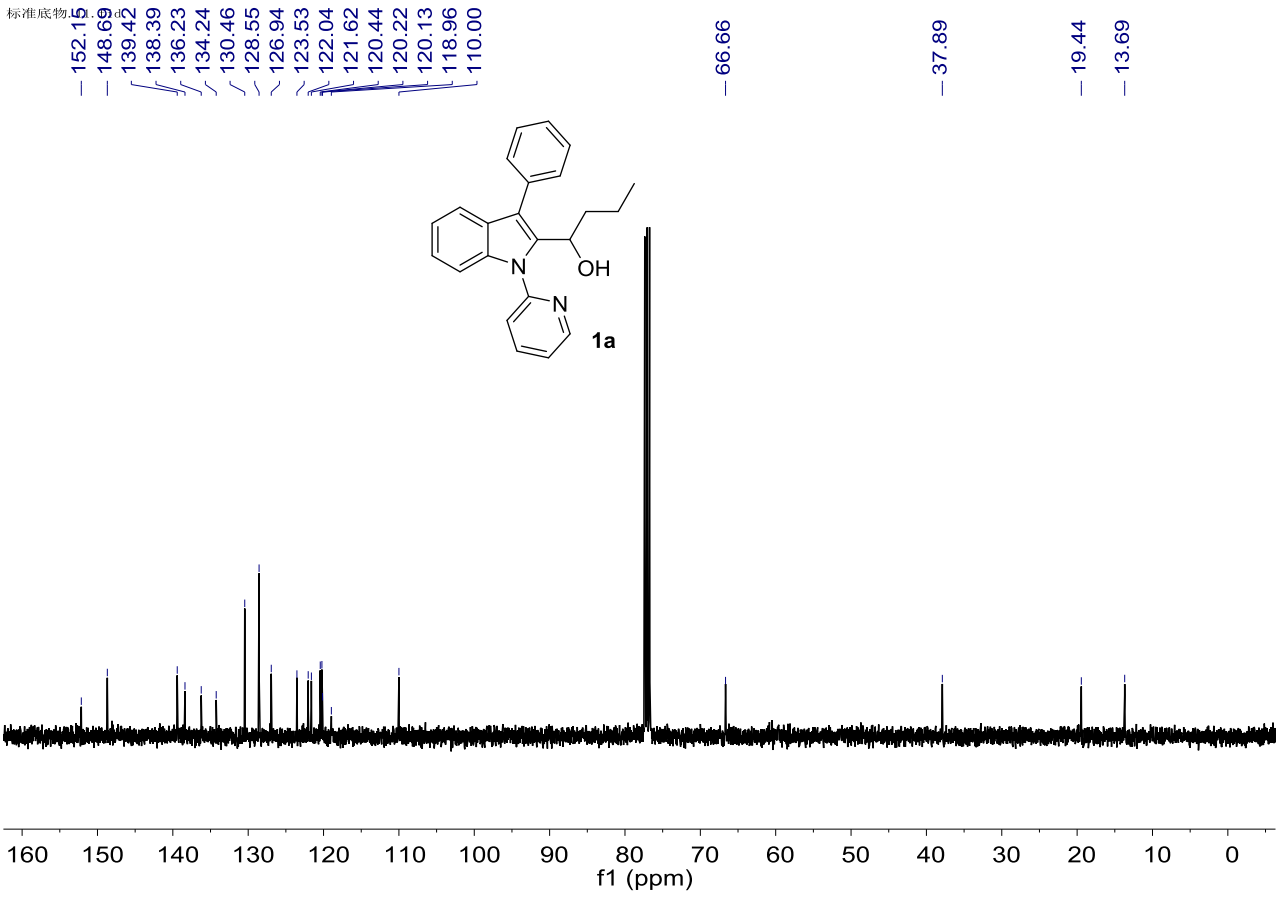
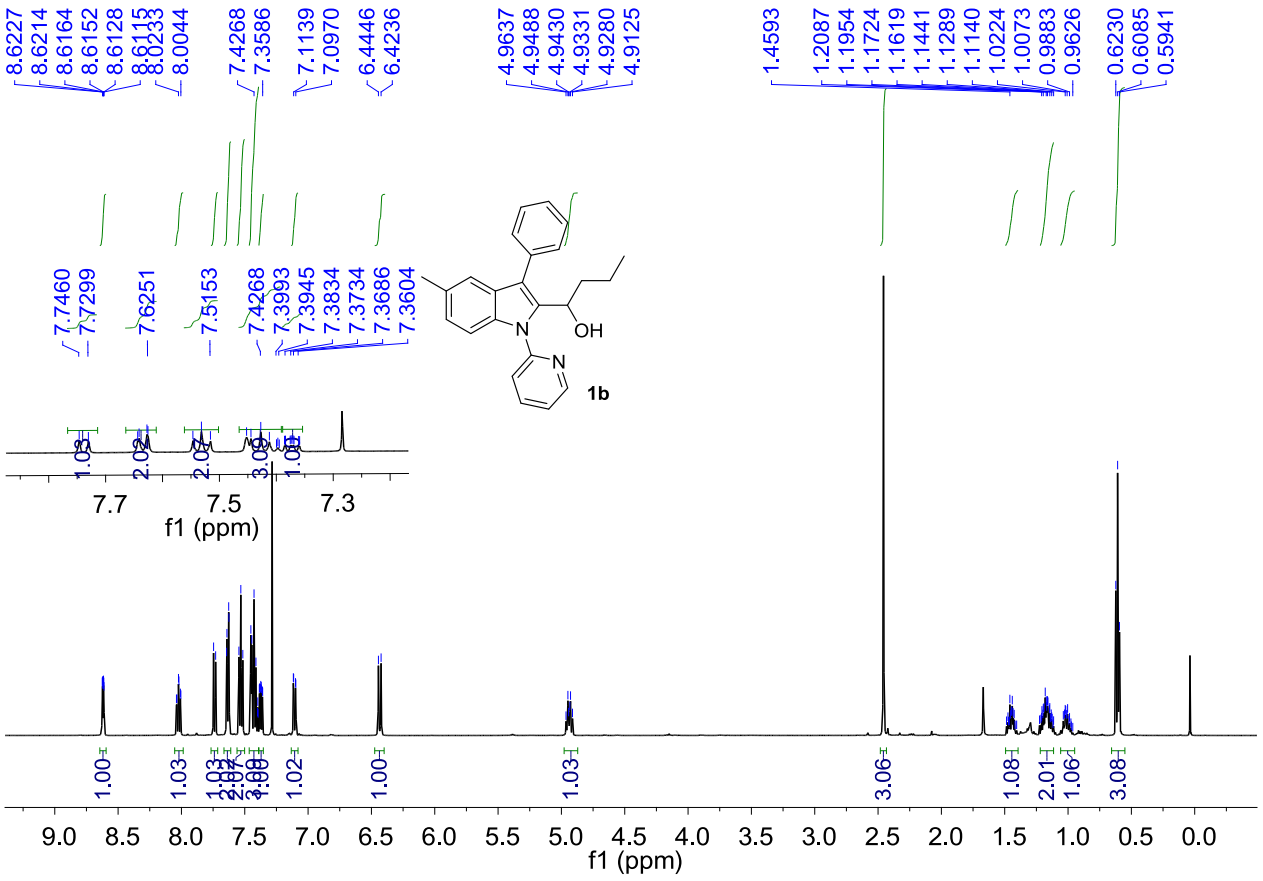
VII. ^{1}H NMR and ^{13}C NMR Spectrum of All Starting Materials and Products.

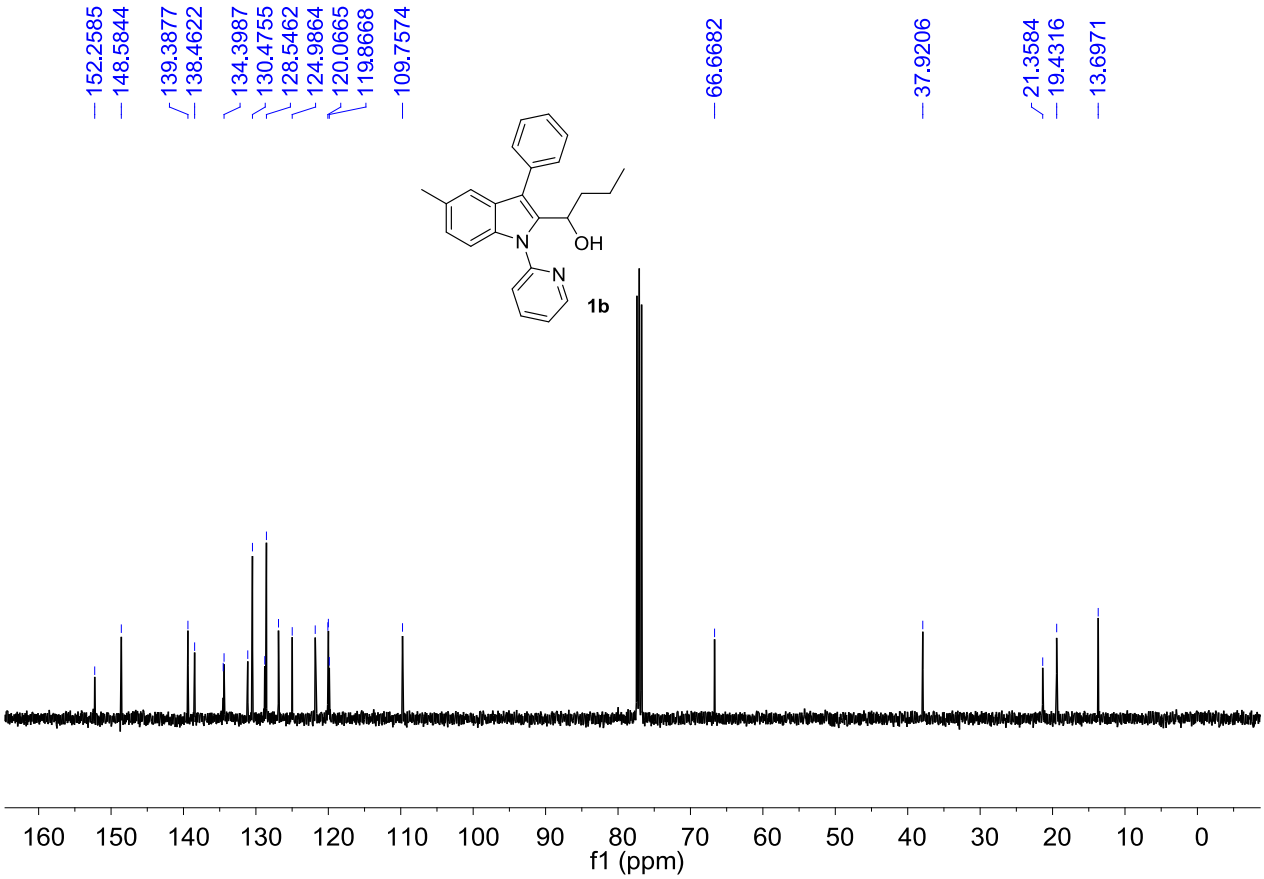
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1a** (using $CDCl_3$ as solvent)



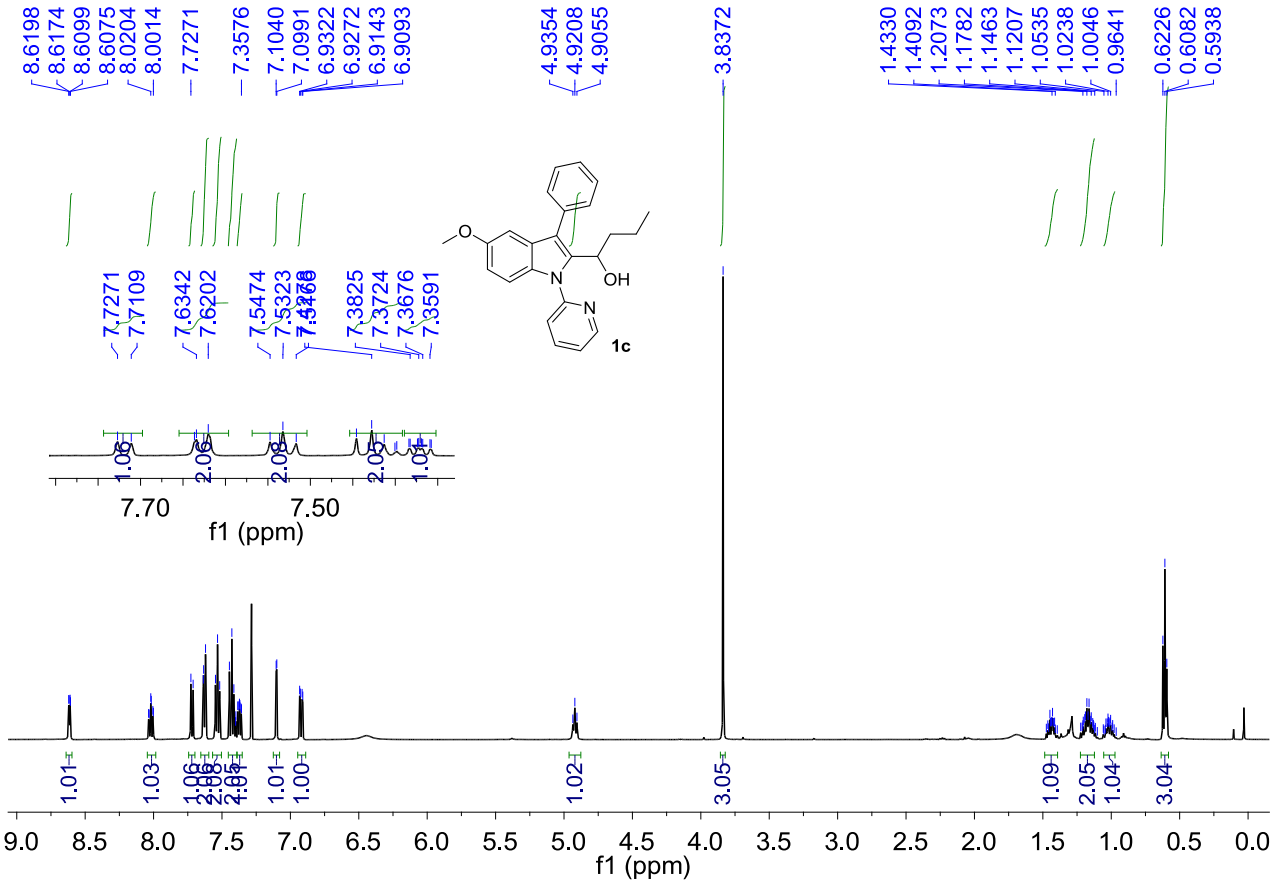


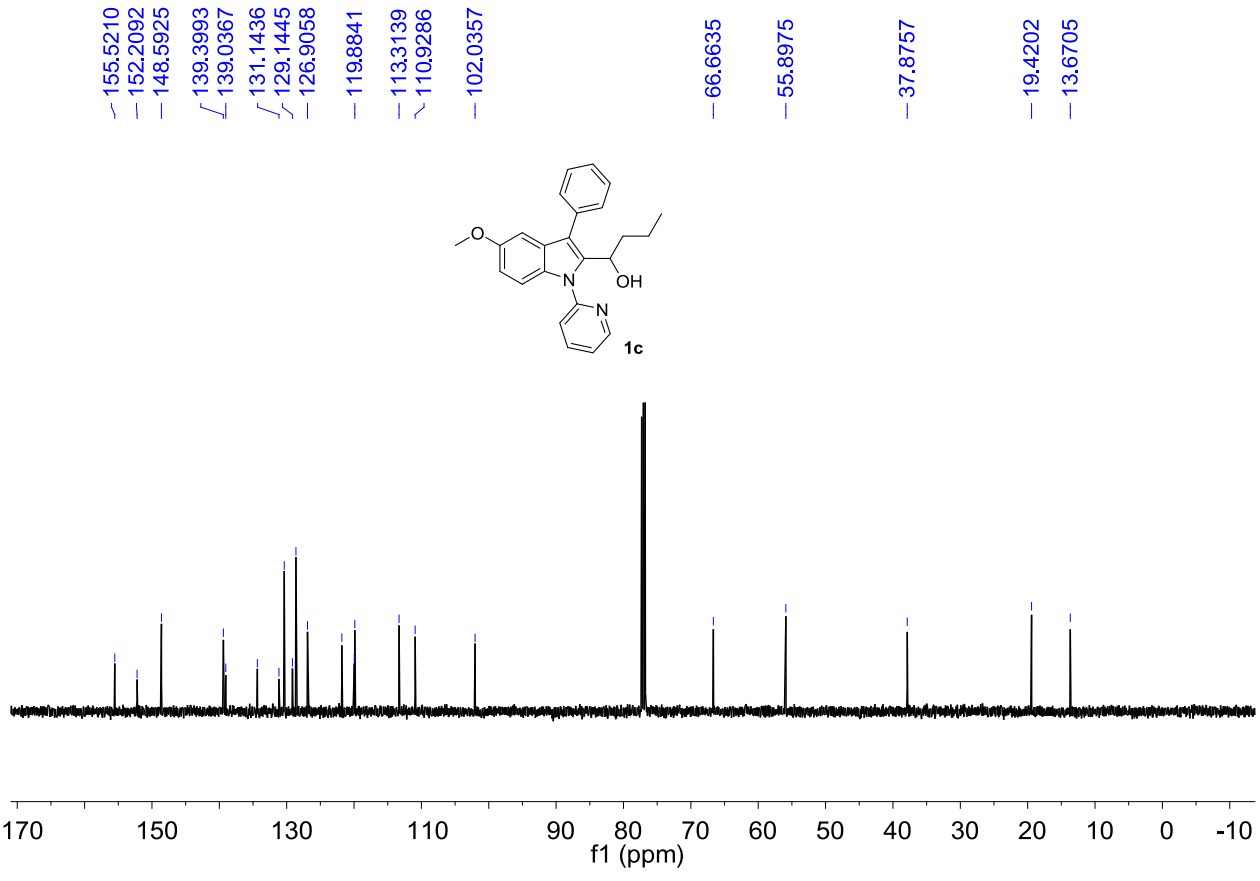
The ^{1}H NMR (500 MHz) and ^{13}C NMR (101 MHz) spectrum for **1b** (using $CDCl_3$ as solvent)



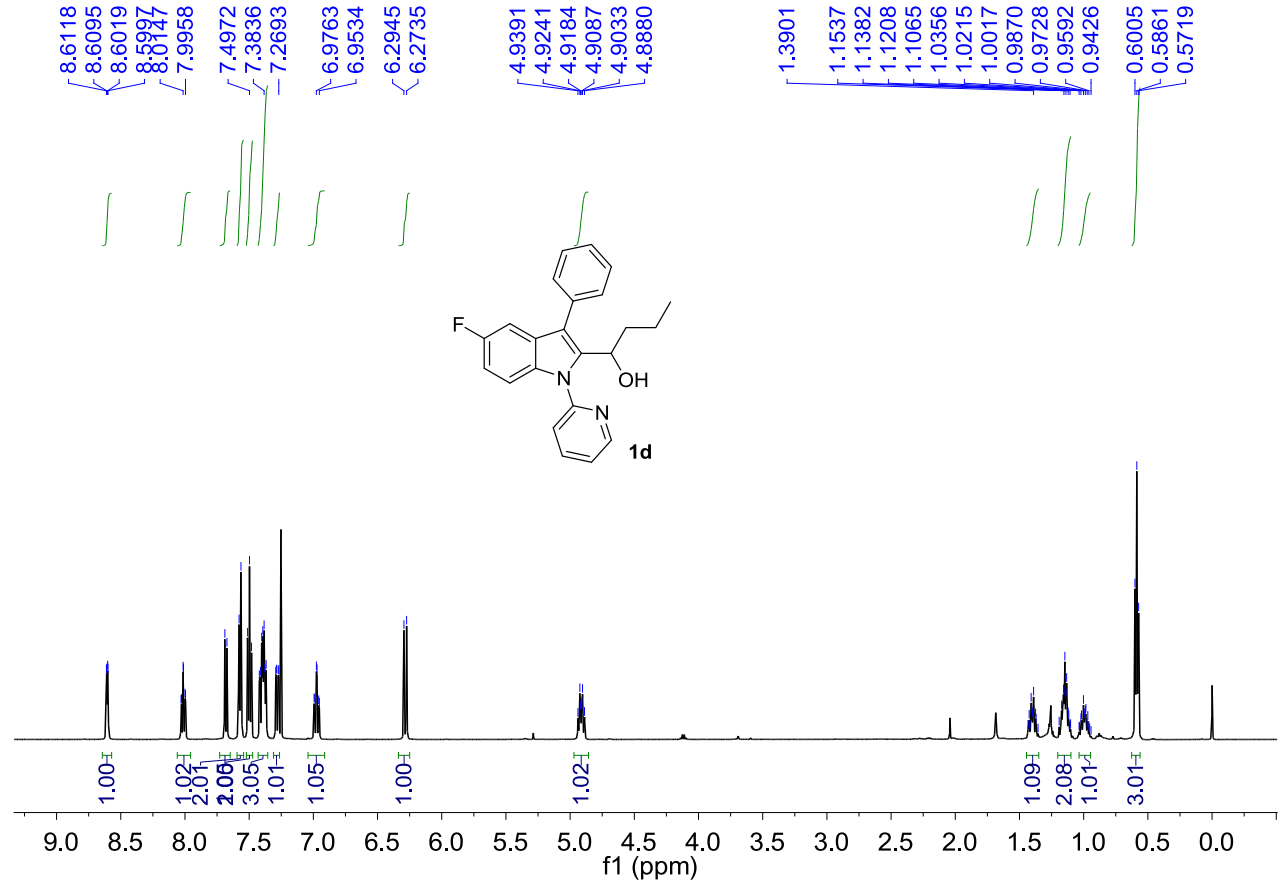


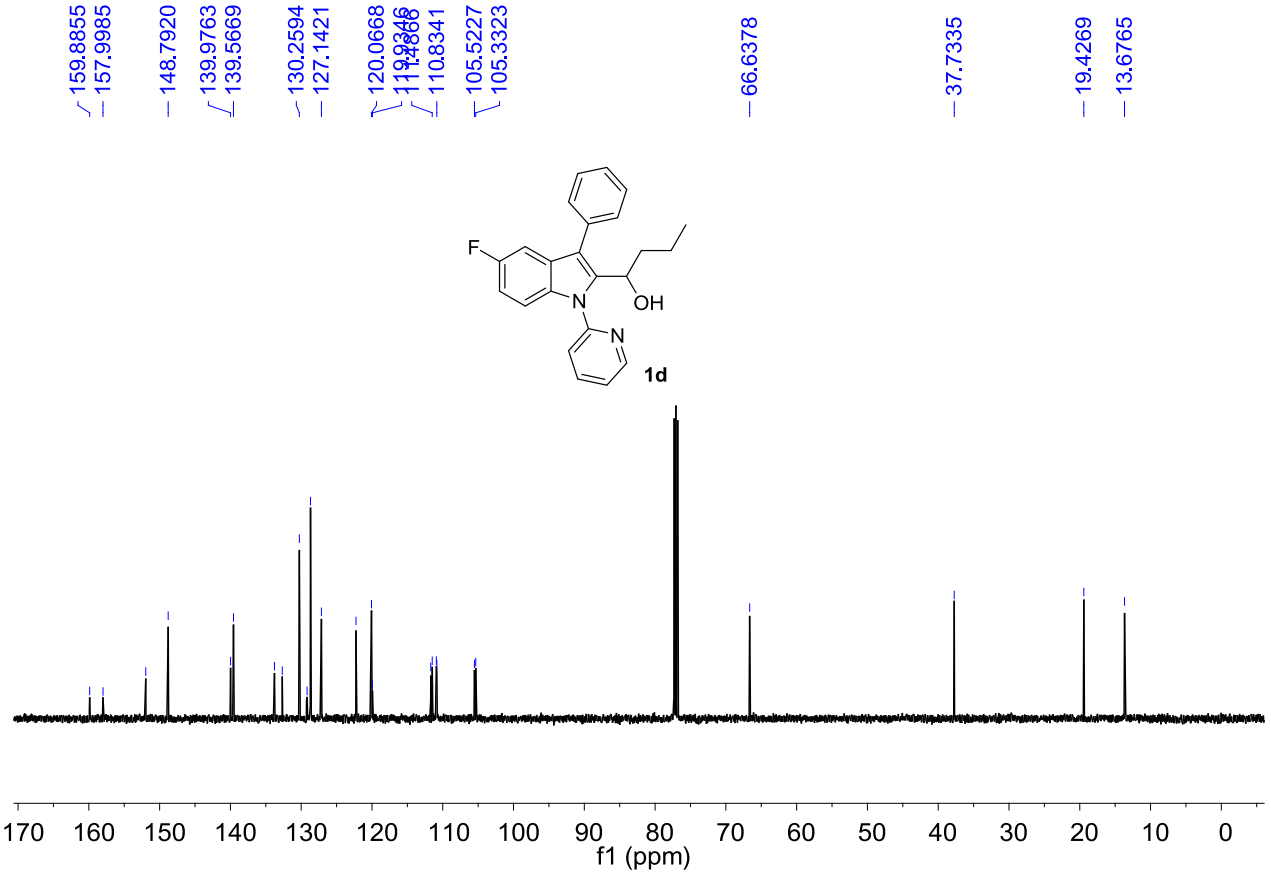
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1c** (using $CDCl_3$ as solvent)



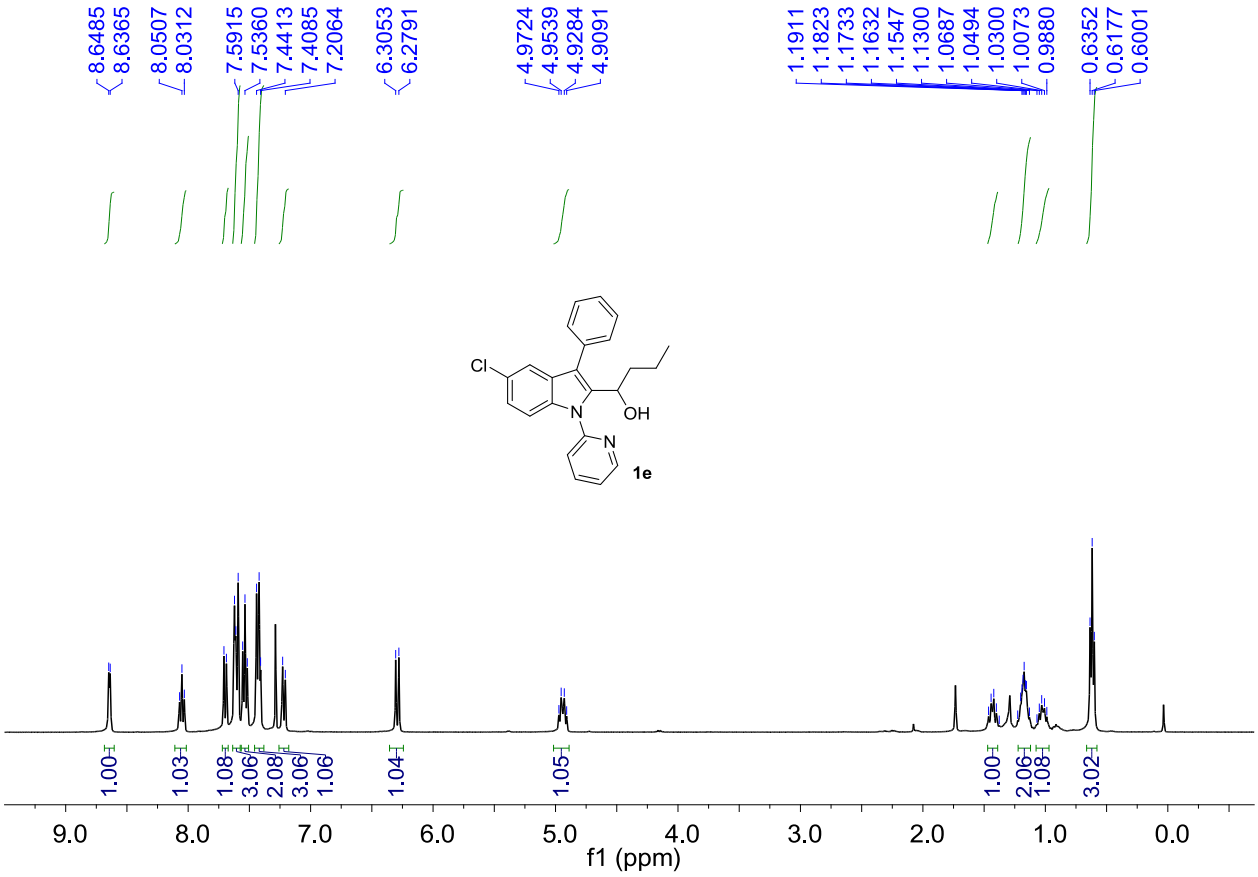


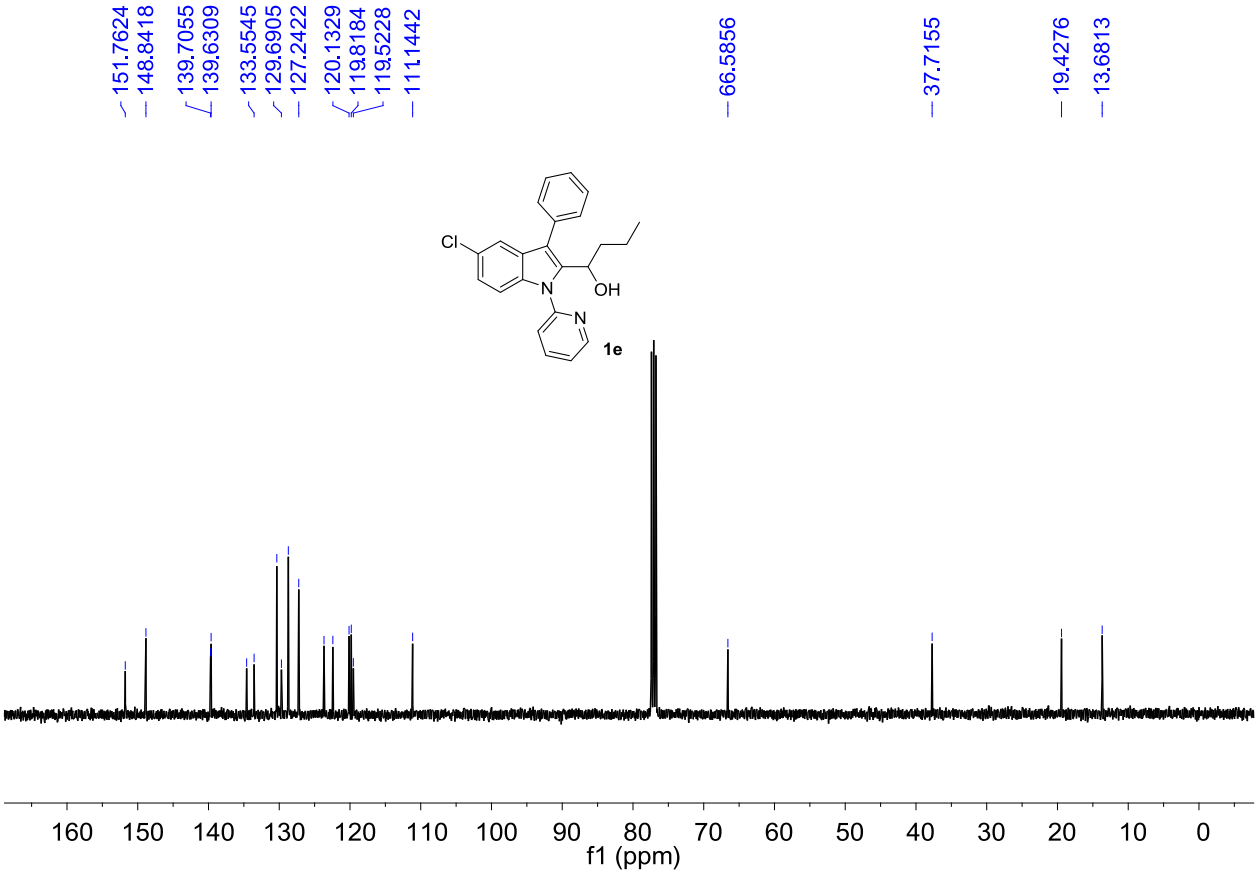
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1d** (using $CDCl_3$ as solvent)



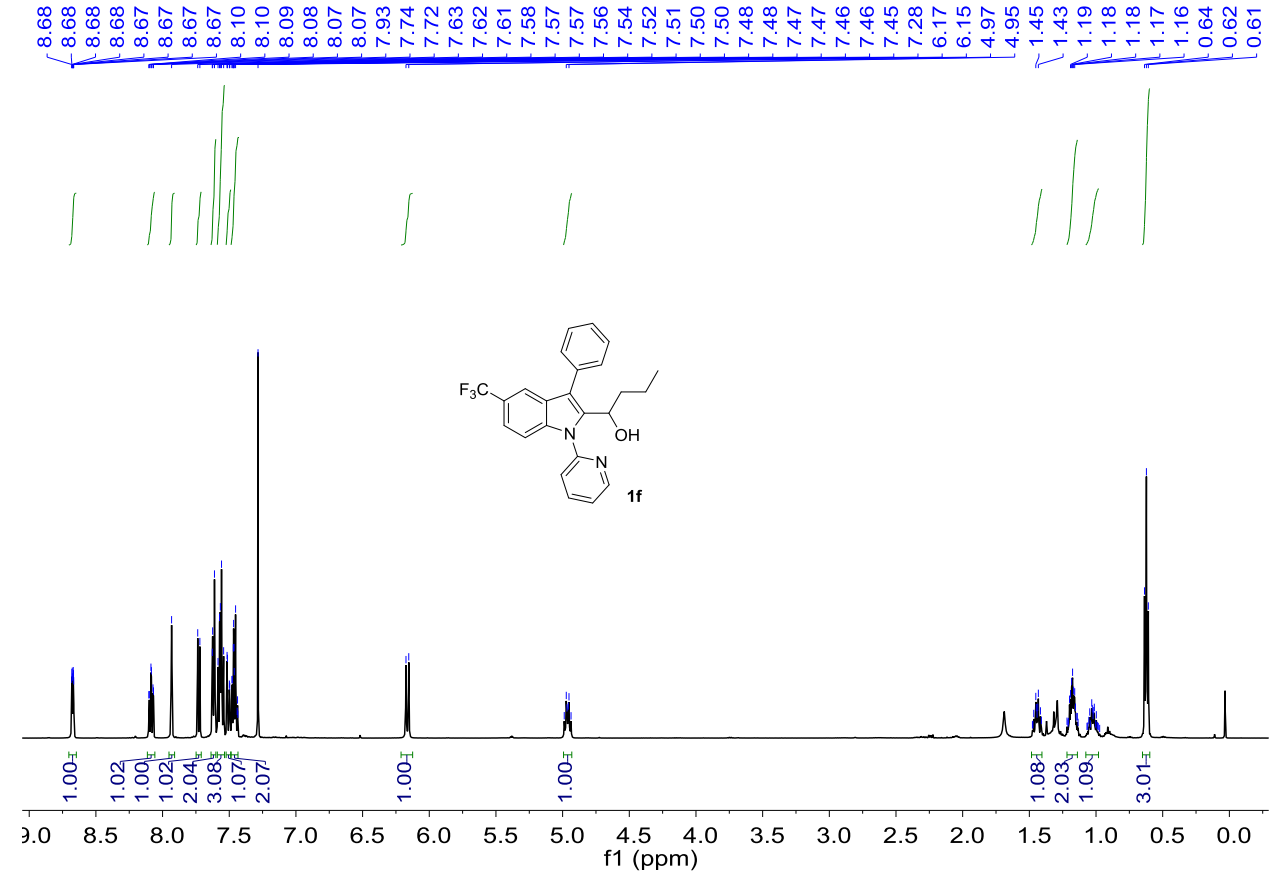


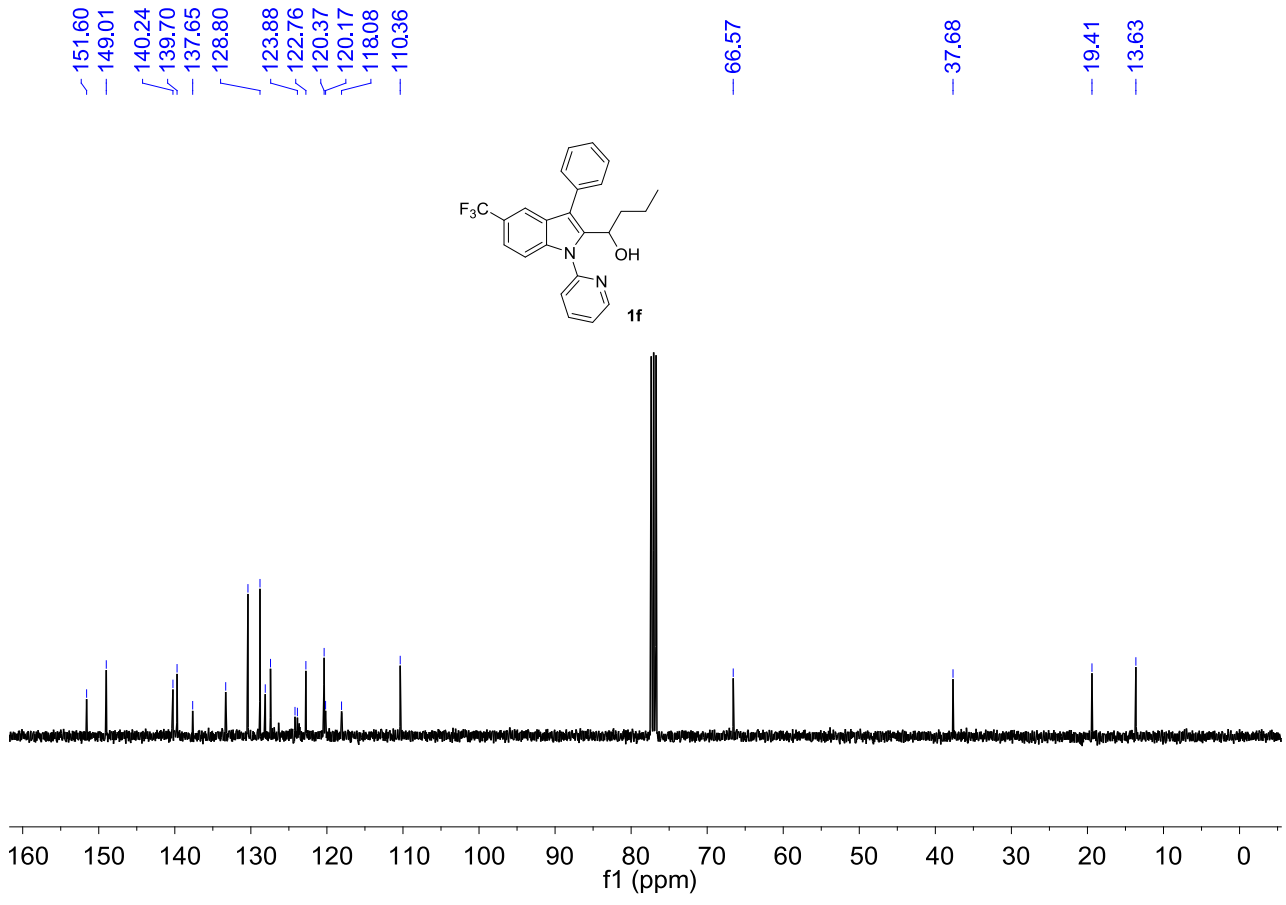
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1e** (using $CDCl_3$ as solvent)



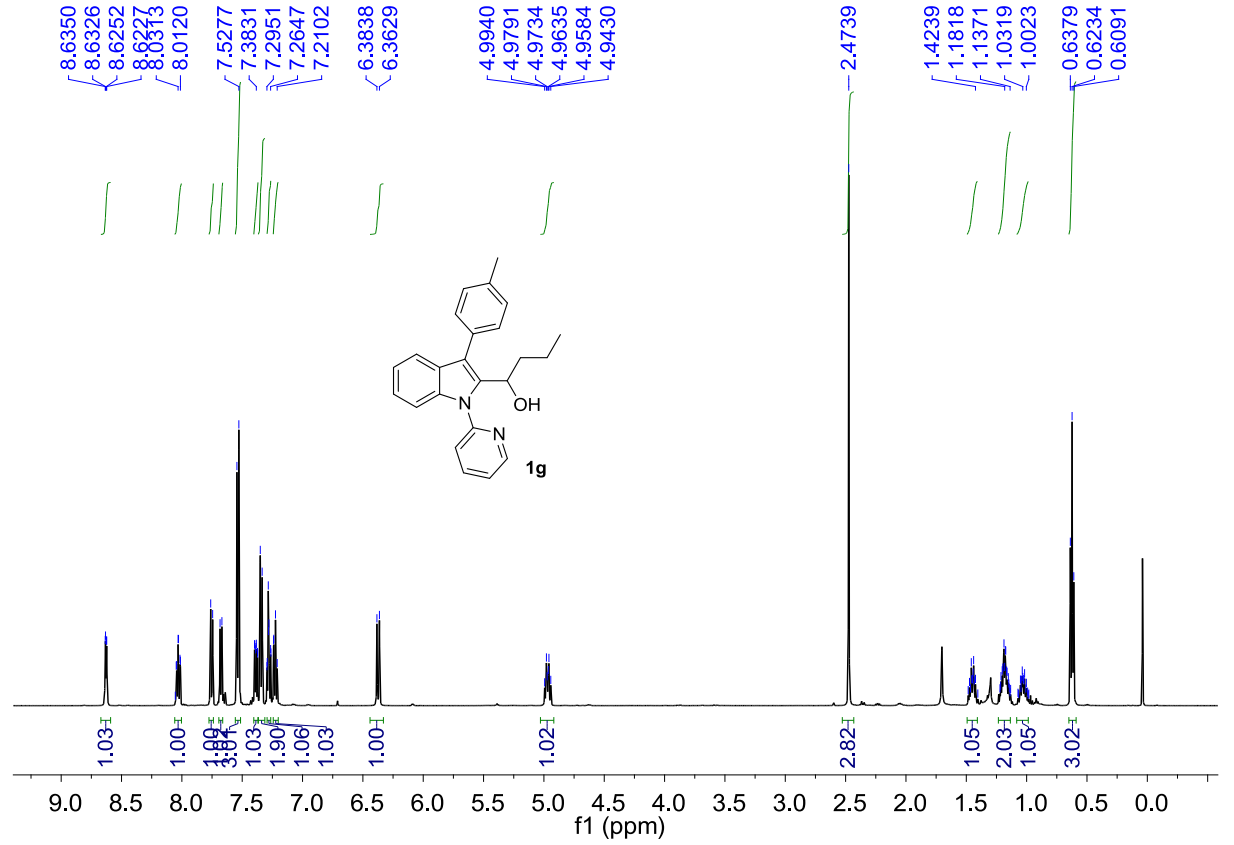


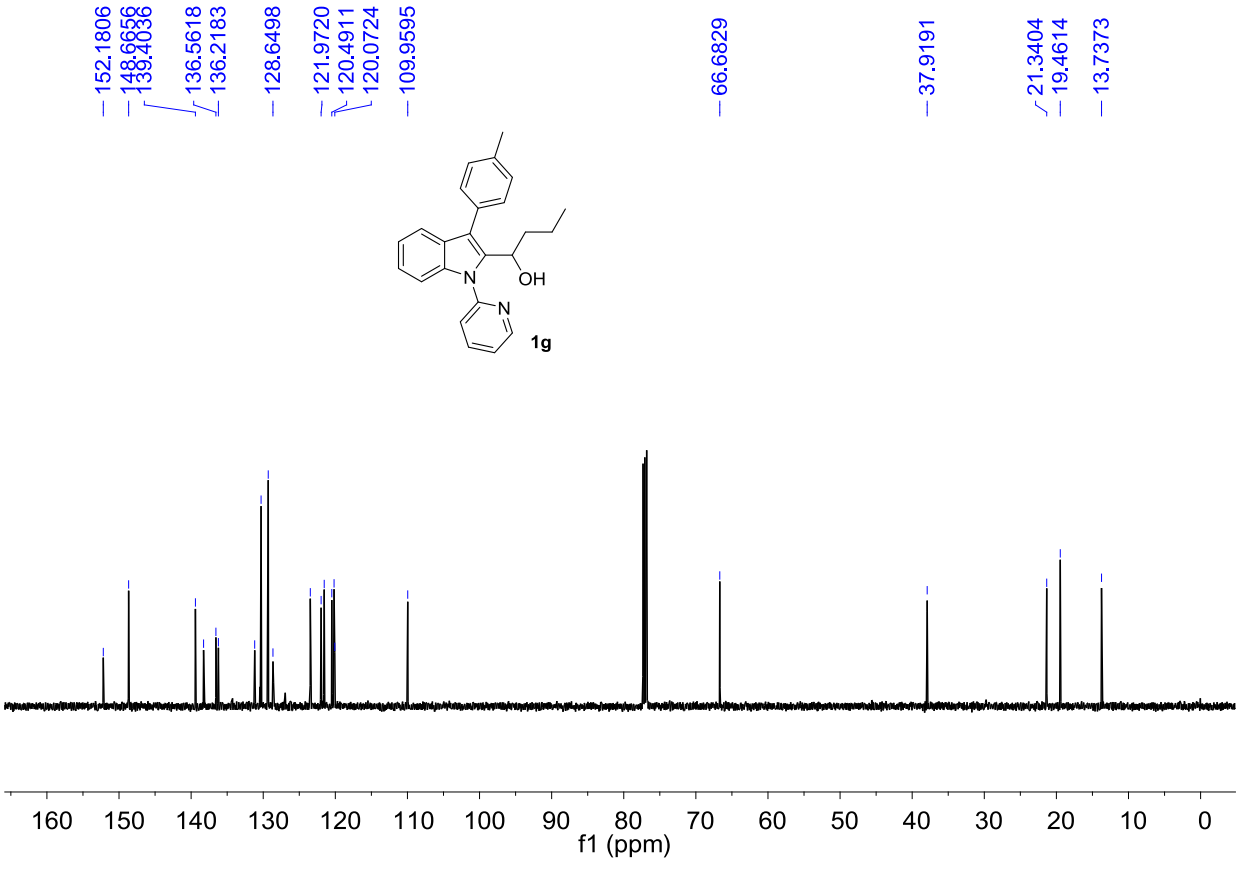
The ^{1}H NMR (500 MHz) and ^{13}C NMR (101 MHz) spectrum for **1f** (using $CDCl_3$ as solvent)



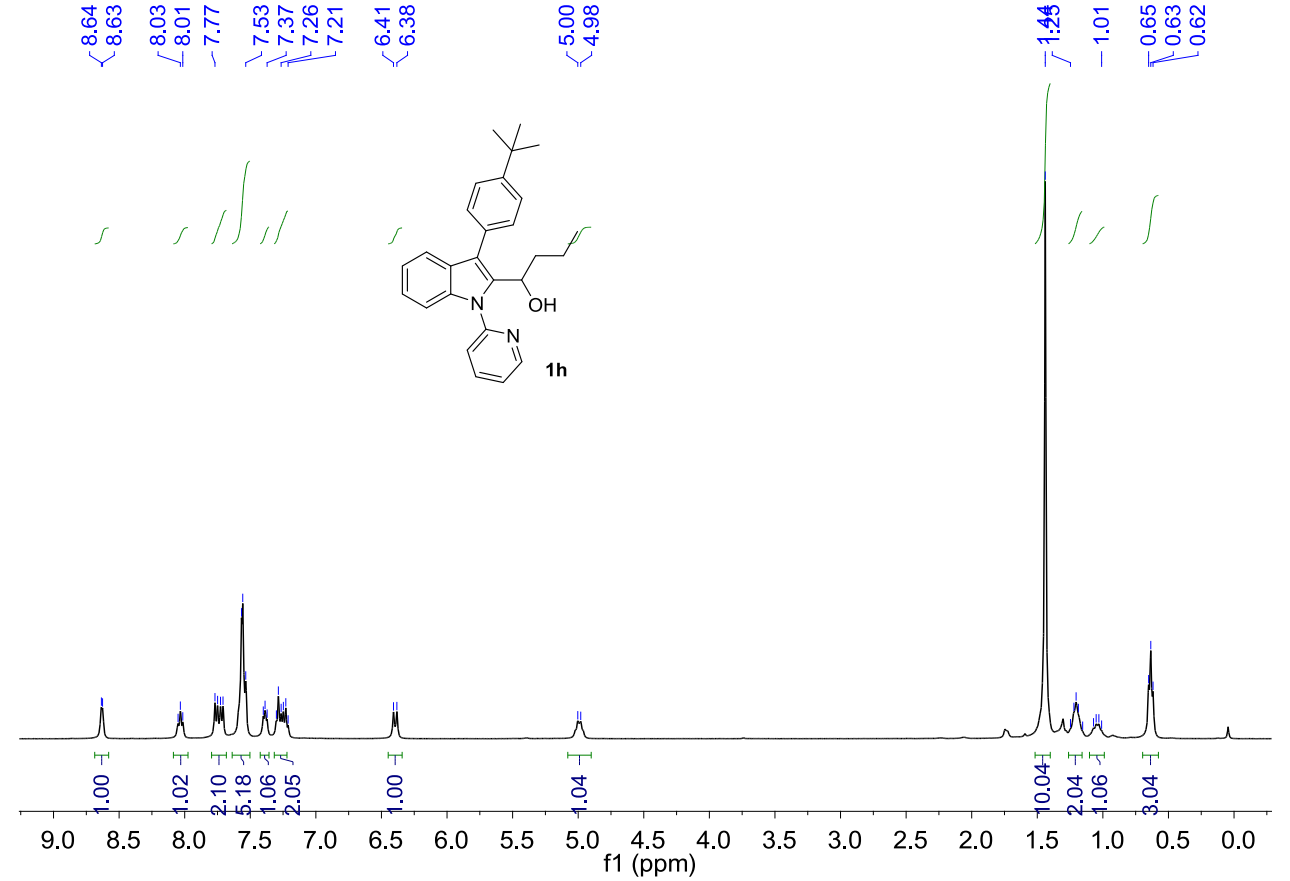


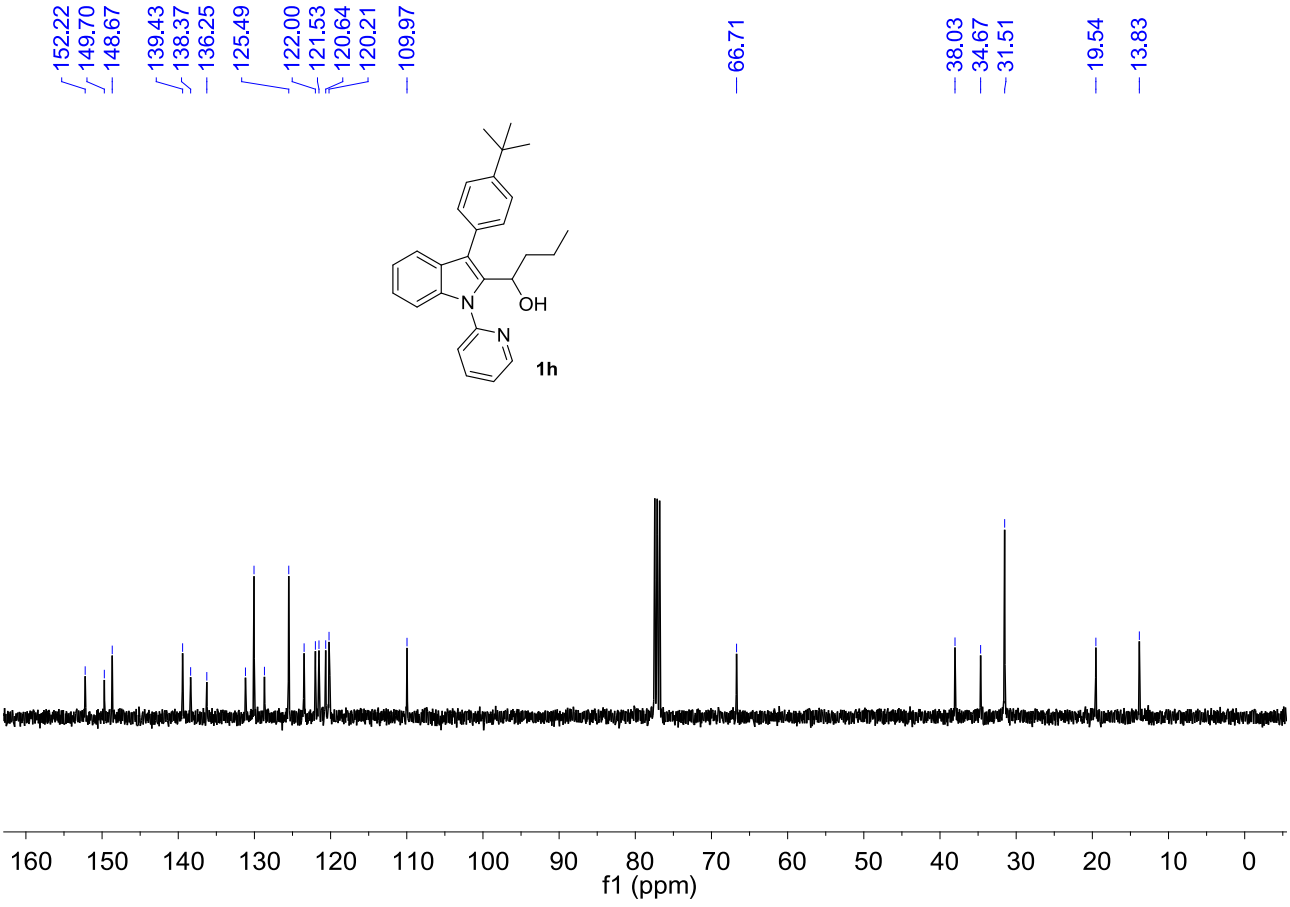
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1g** (using $CDCl_3$ as solvent)



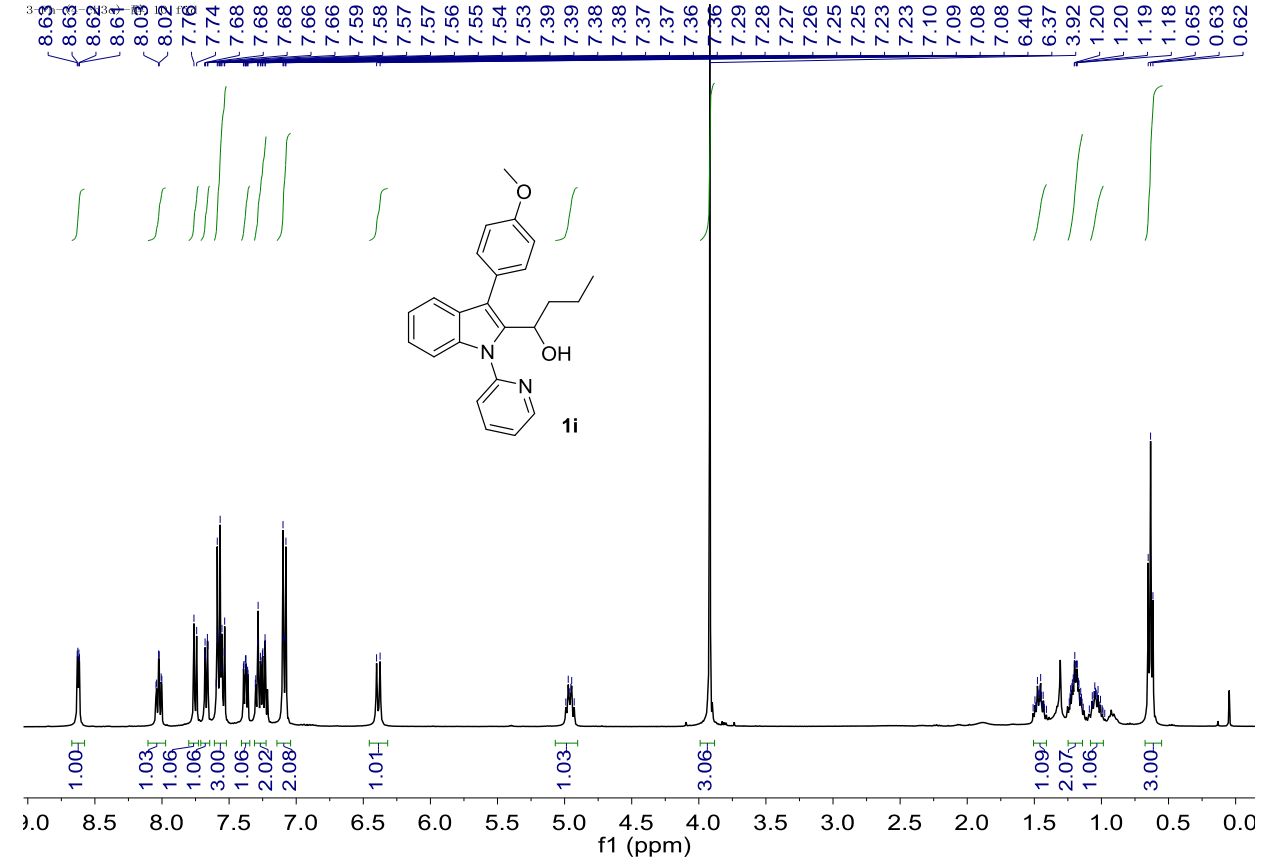


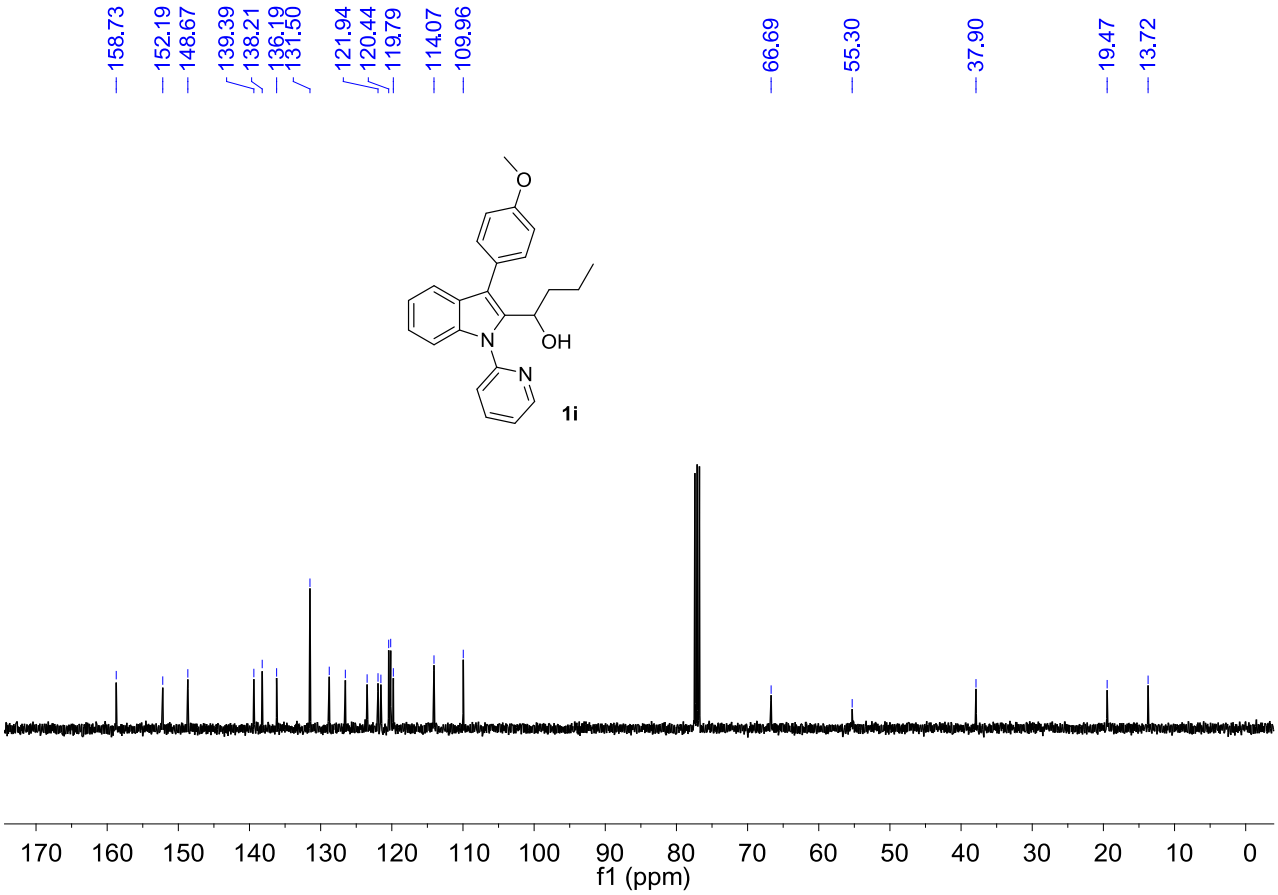
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1h** (using $CDCl_3$ as solvent)



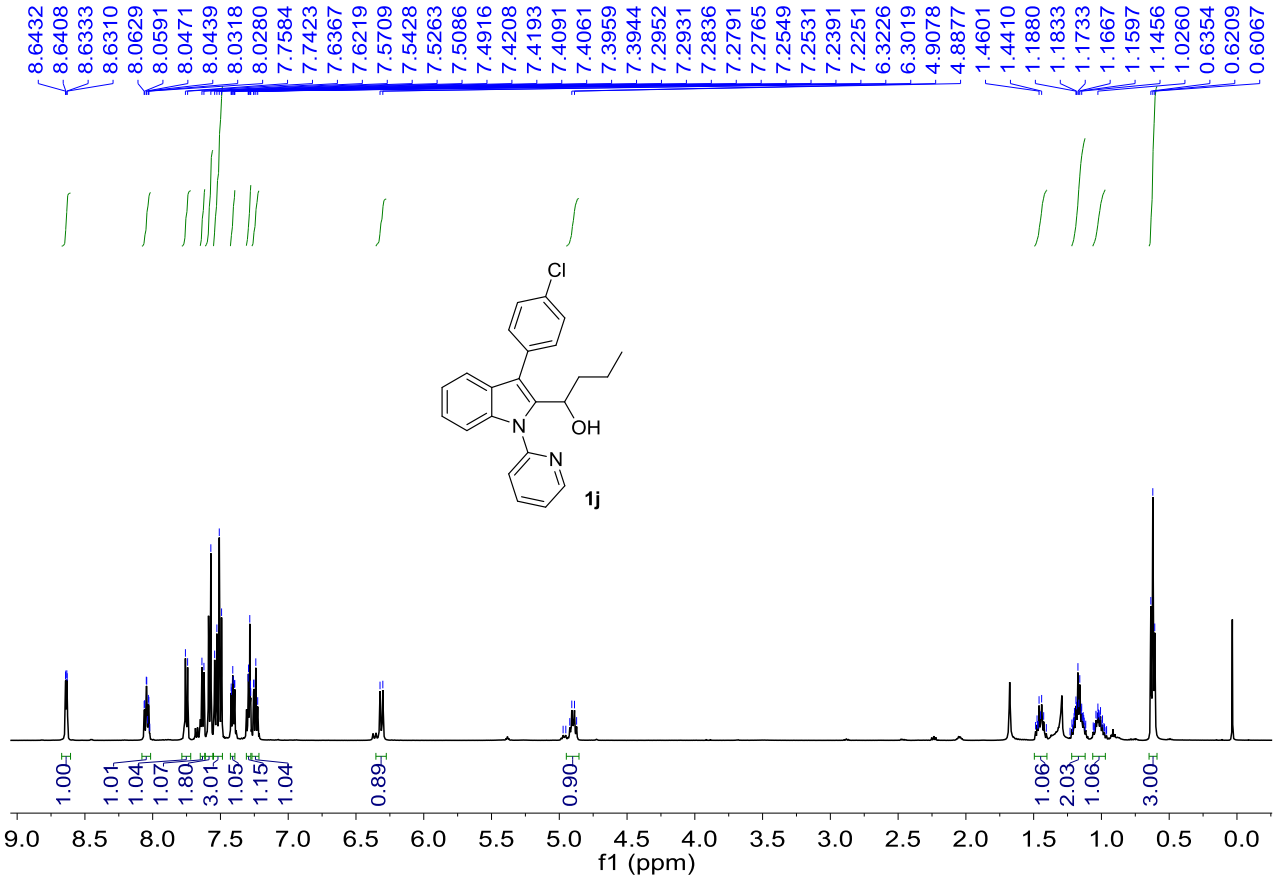


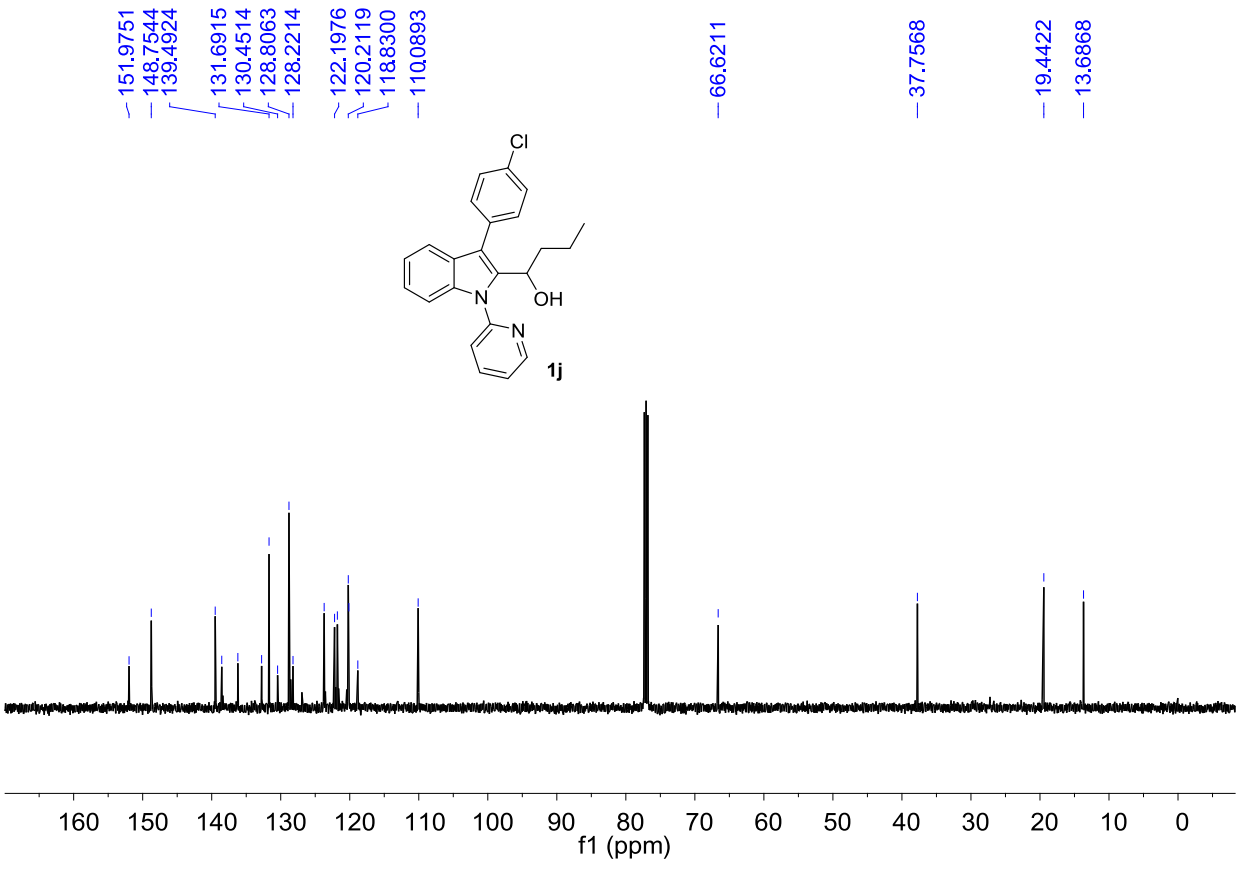
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1i** (using $CDCl_3$ as solvent)



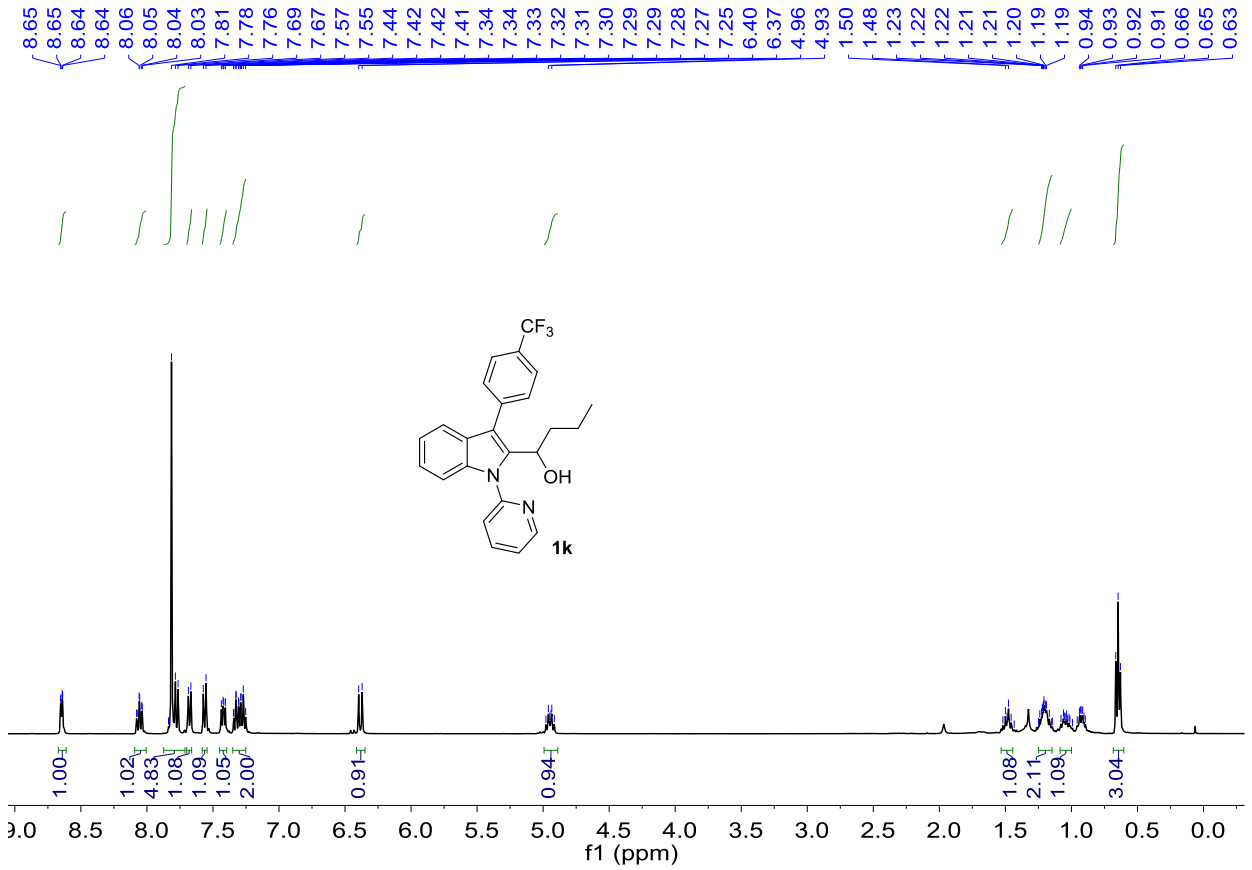


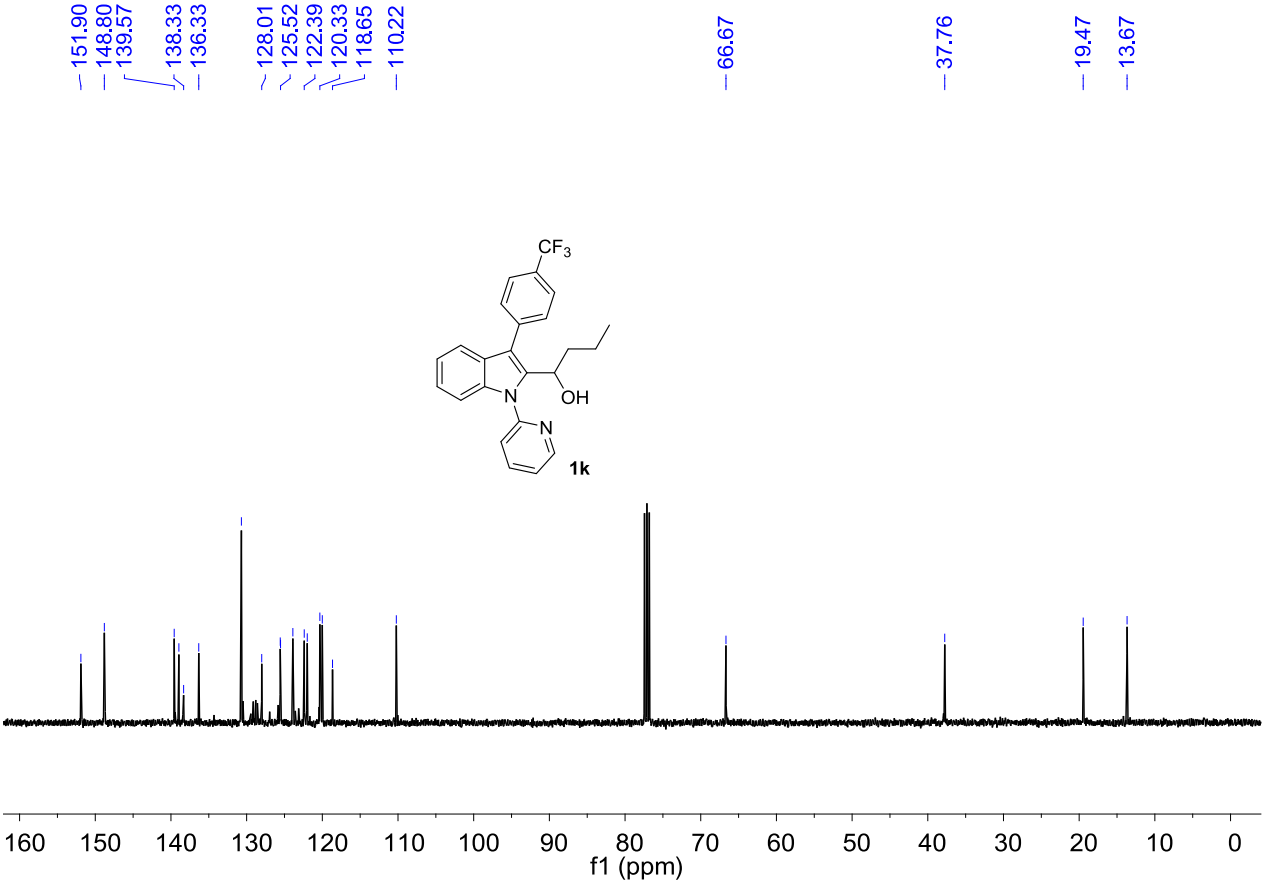
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1j** (using $CDCl_3$ as solvent)



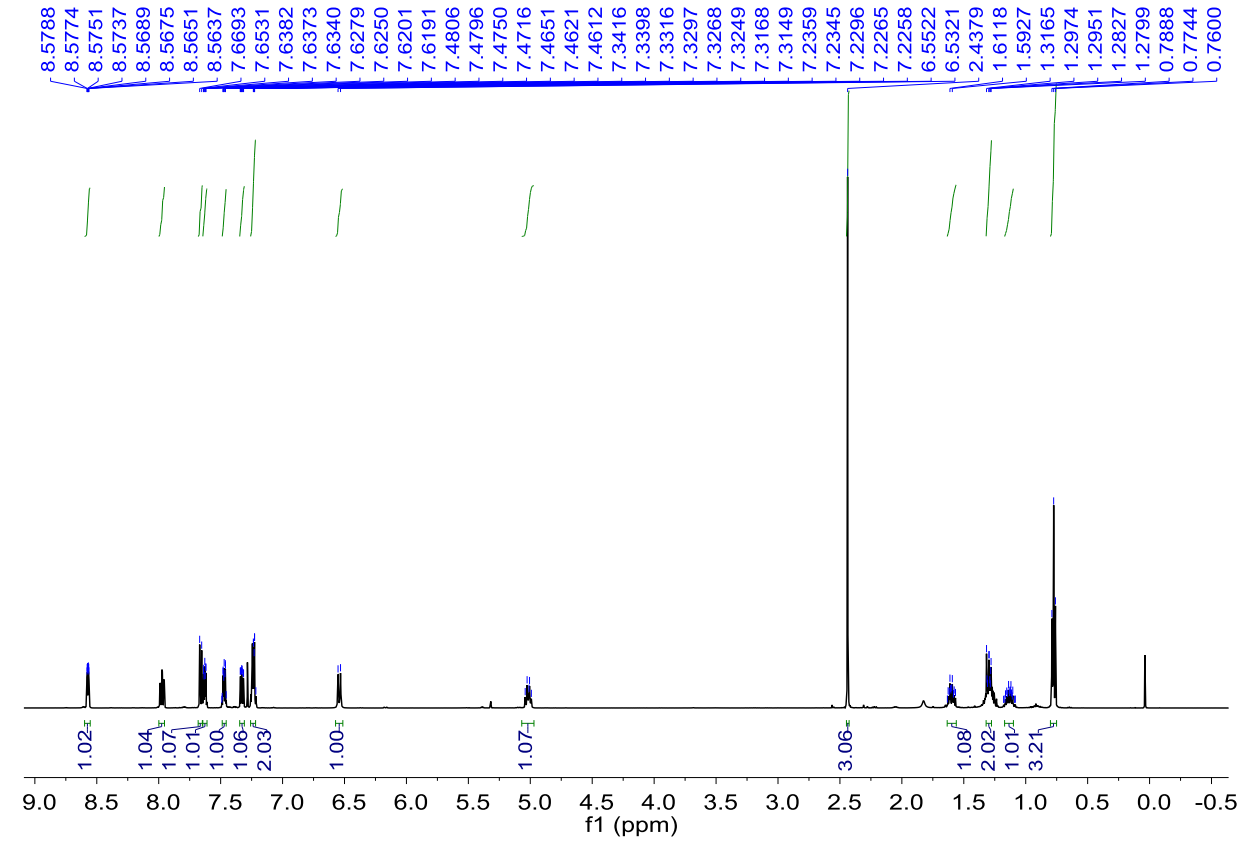


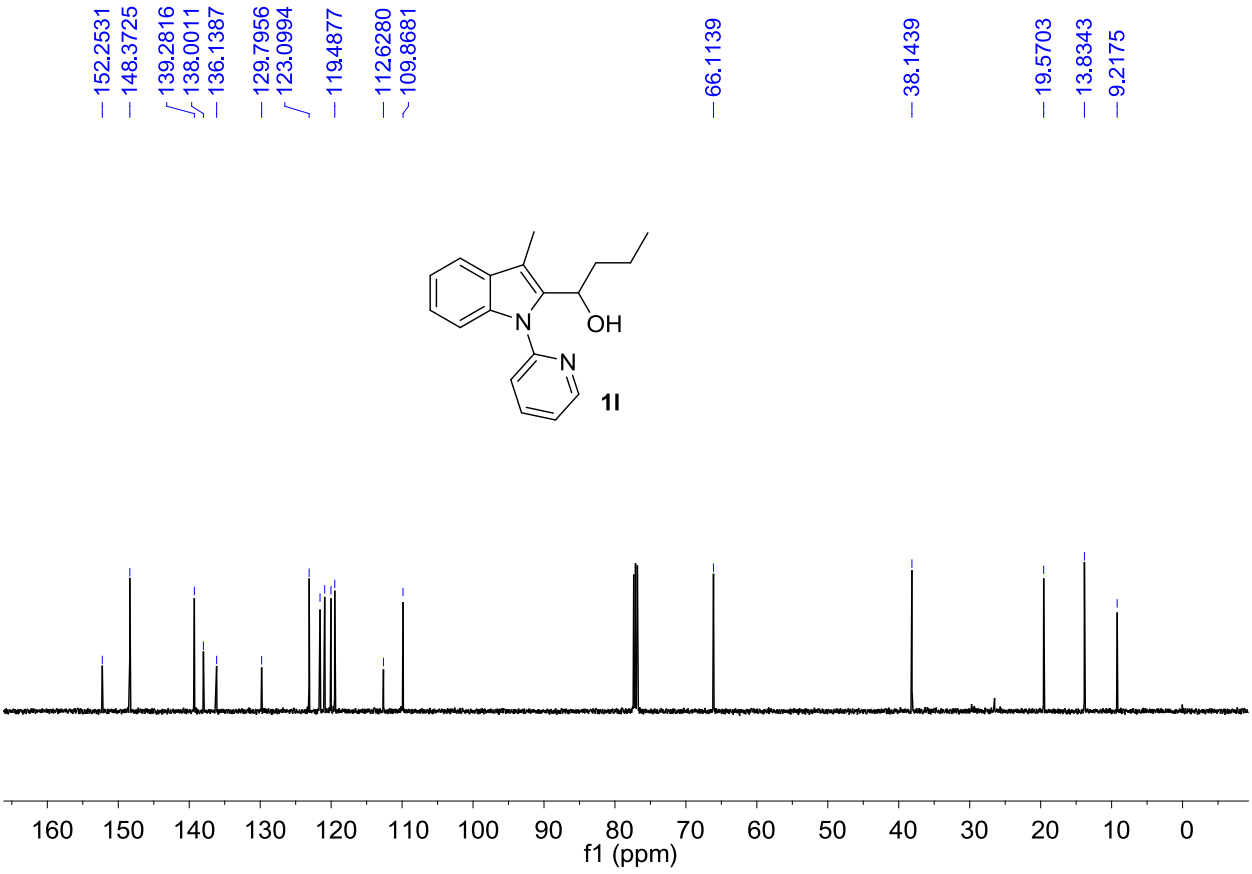
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1k** (using $CDCl_3$ as solvent)



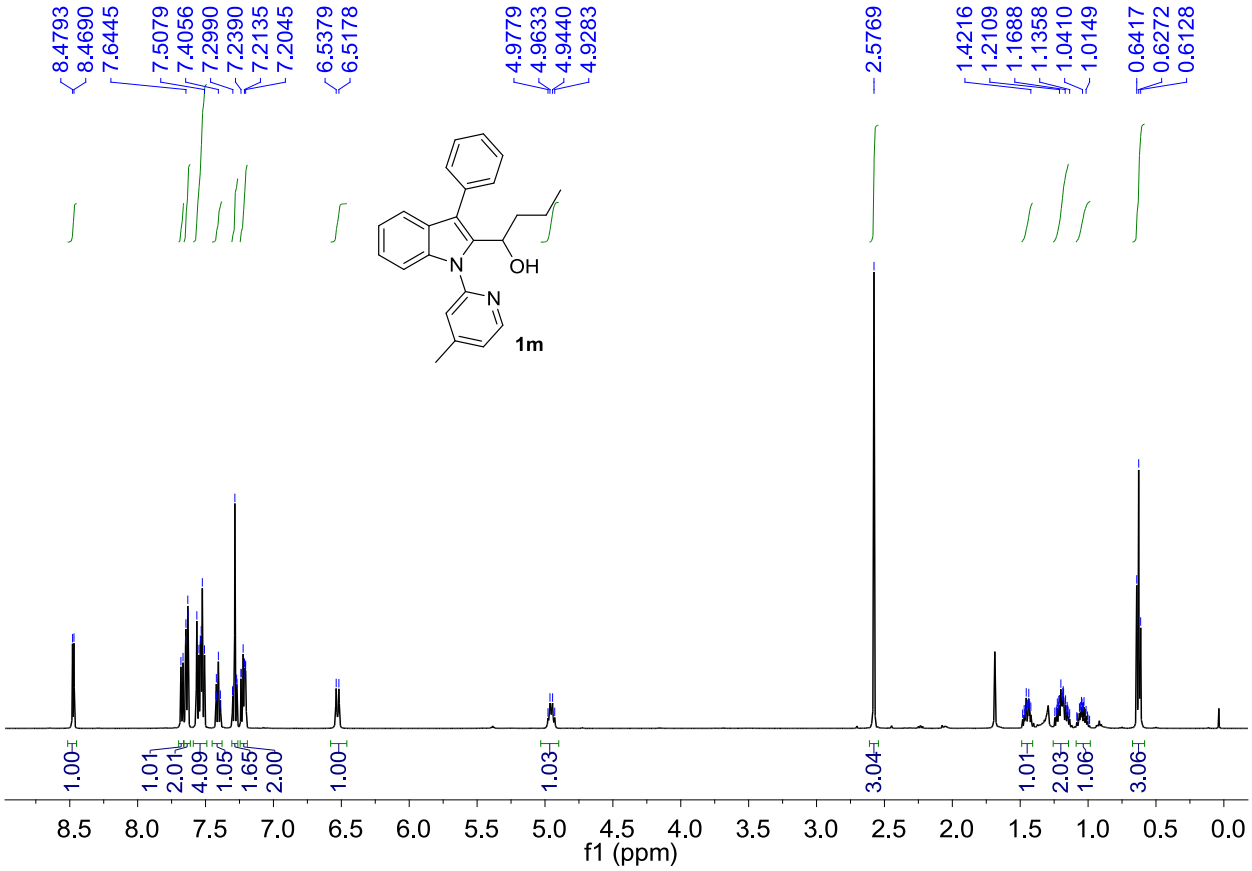


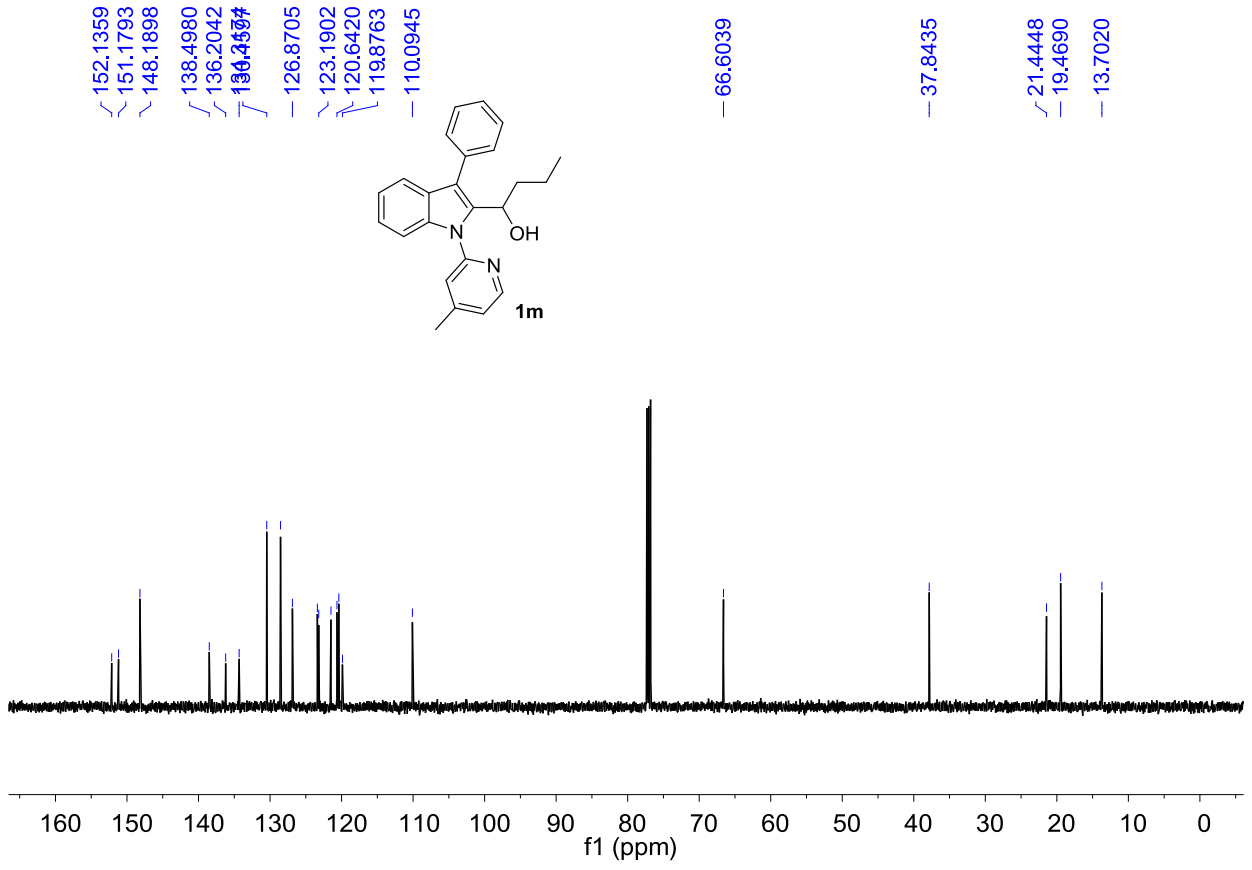
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1l** (using $CDCl_3$ as solvent)



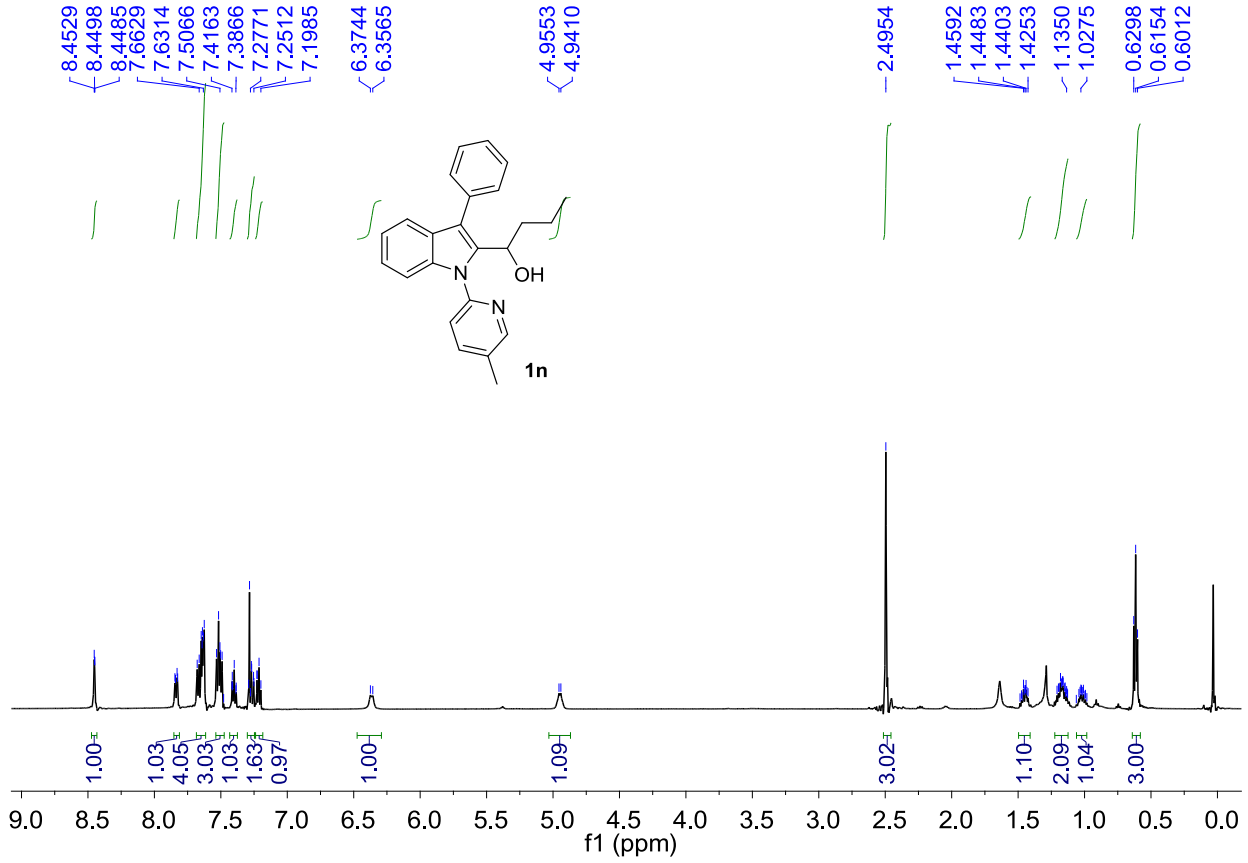


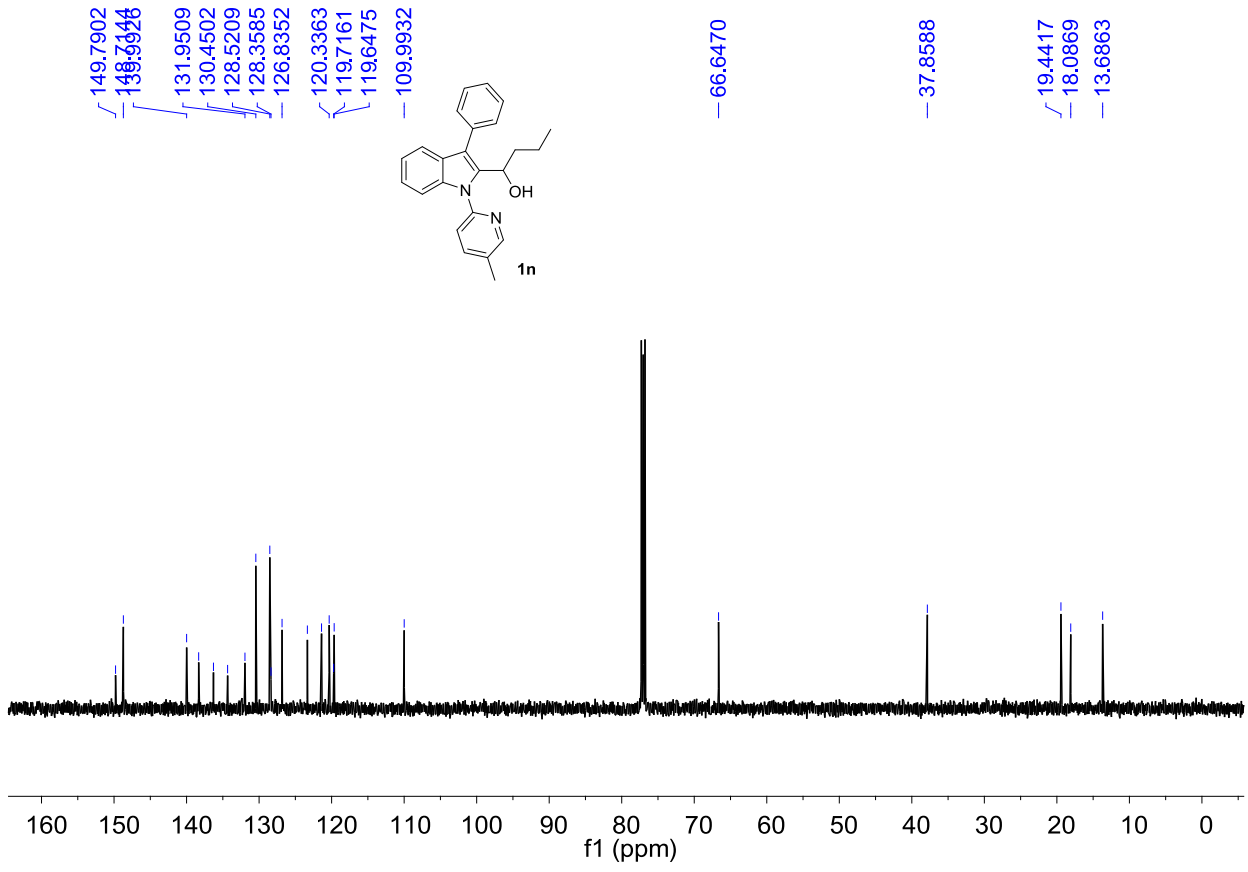
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1m** (using $CDCl_3$ as solvent)



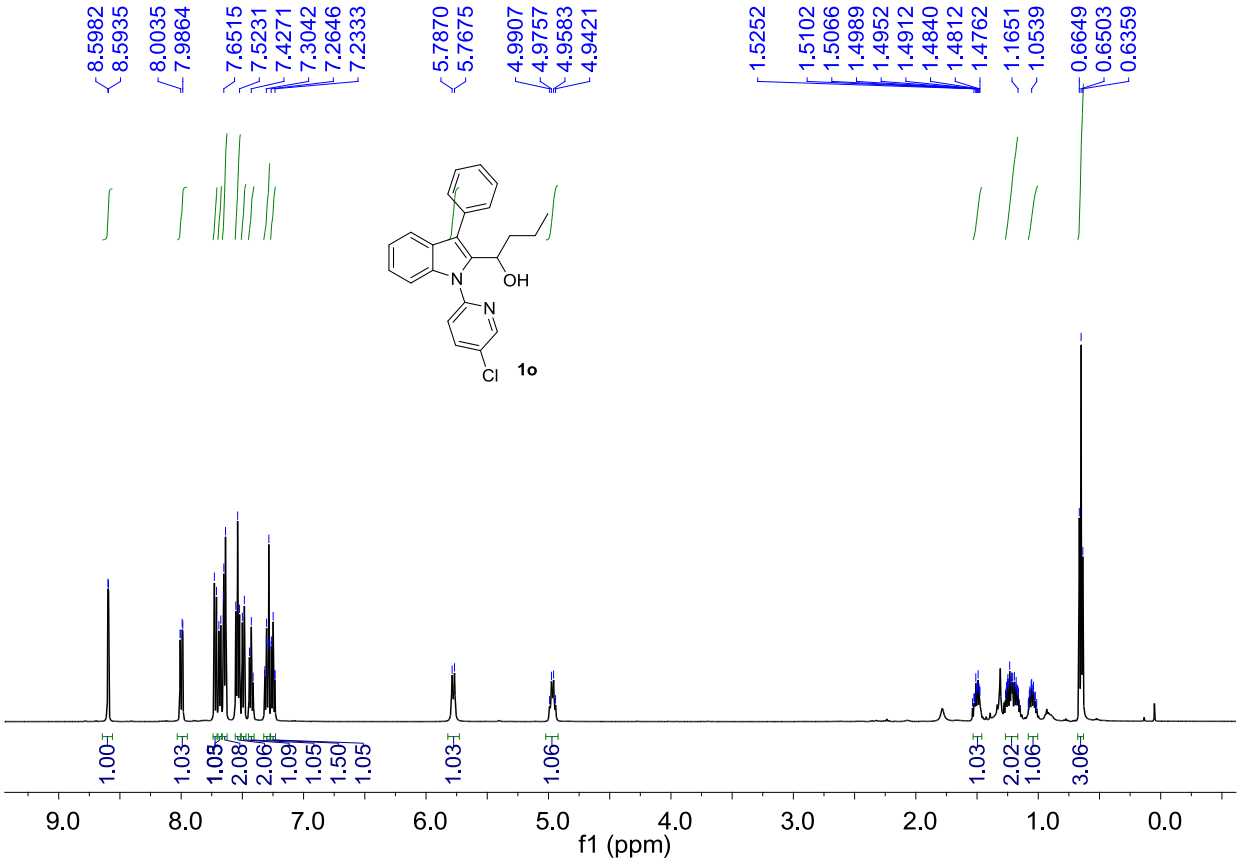


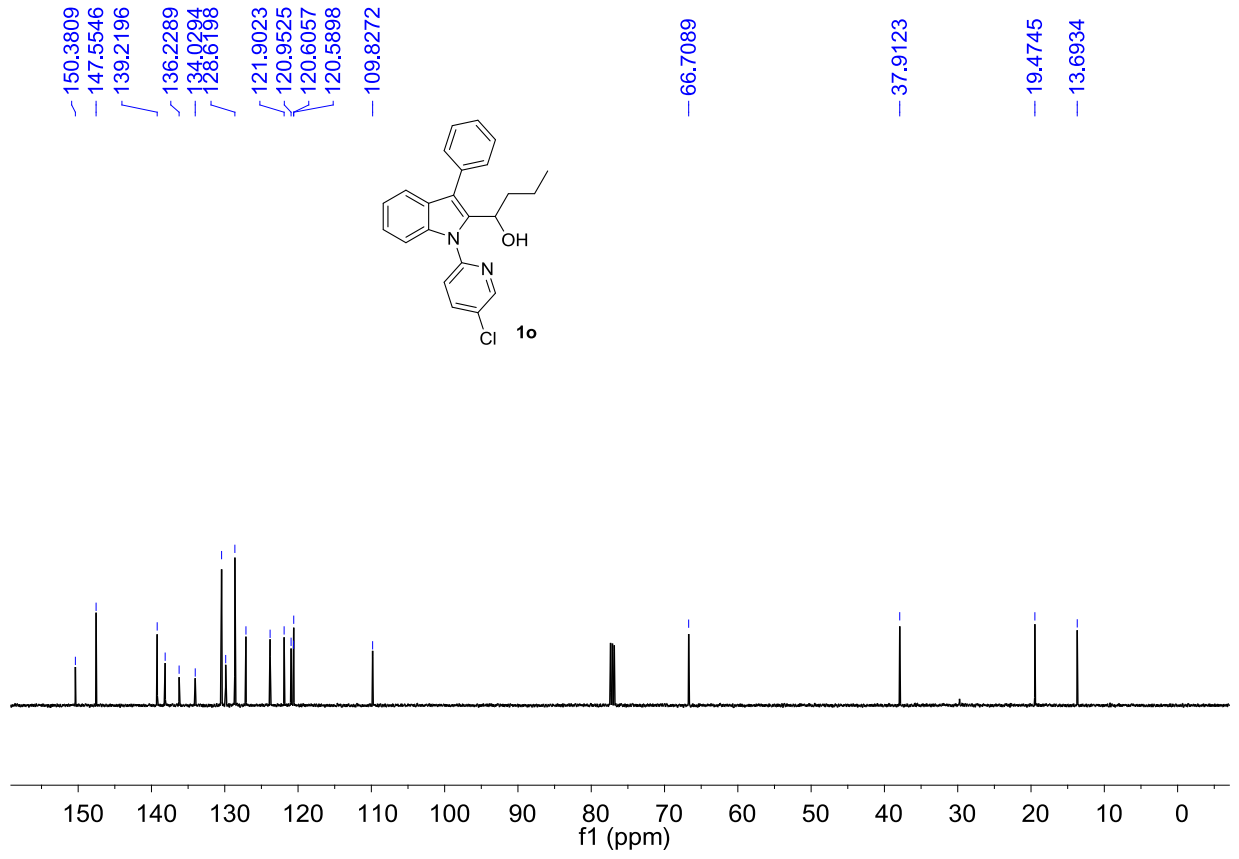
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1n** (using $CDCl_3$ as solvent)



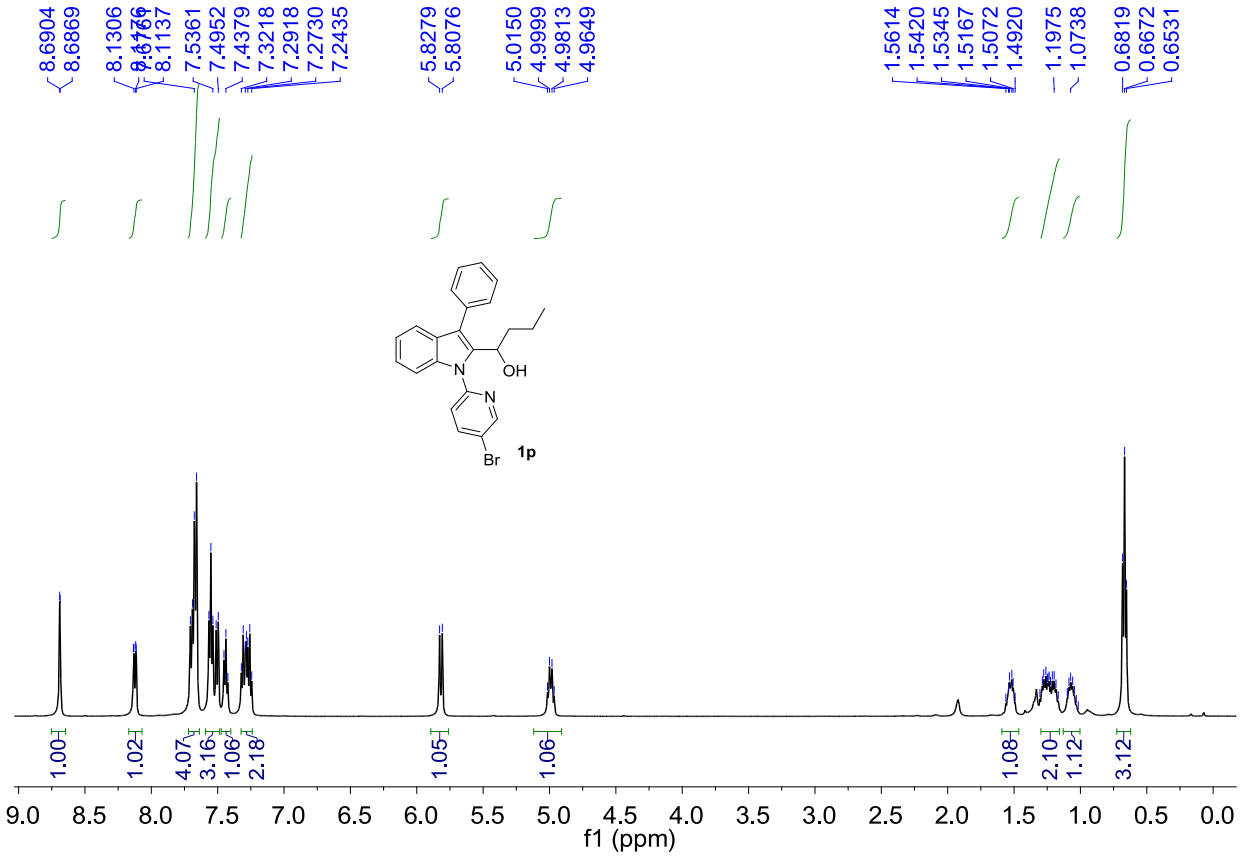


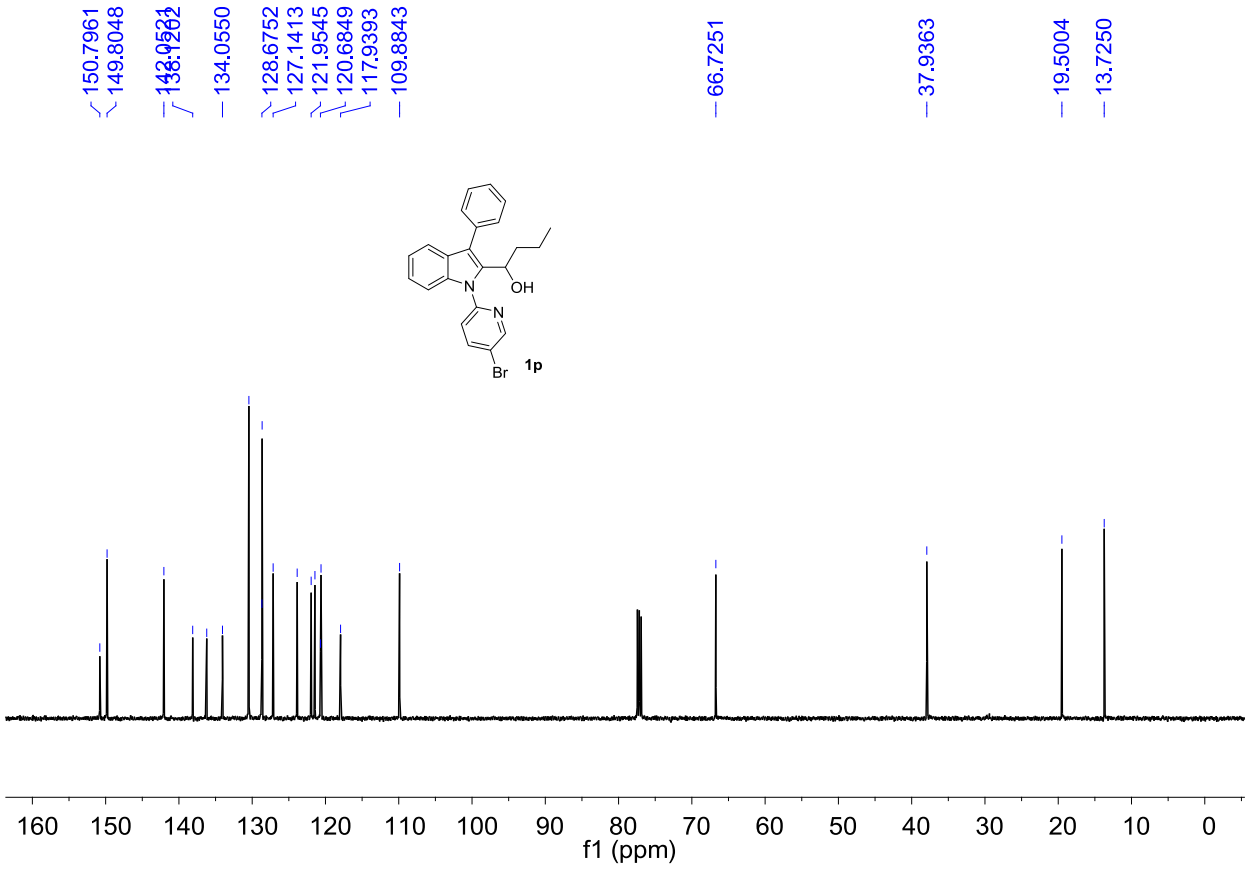
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1o** (using $CDCl_3$ as solvent)



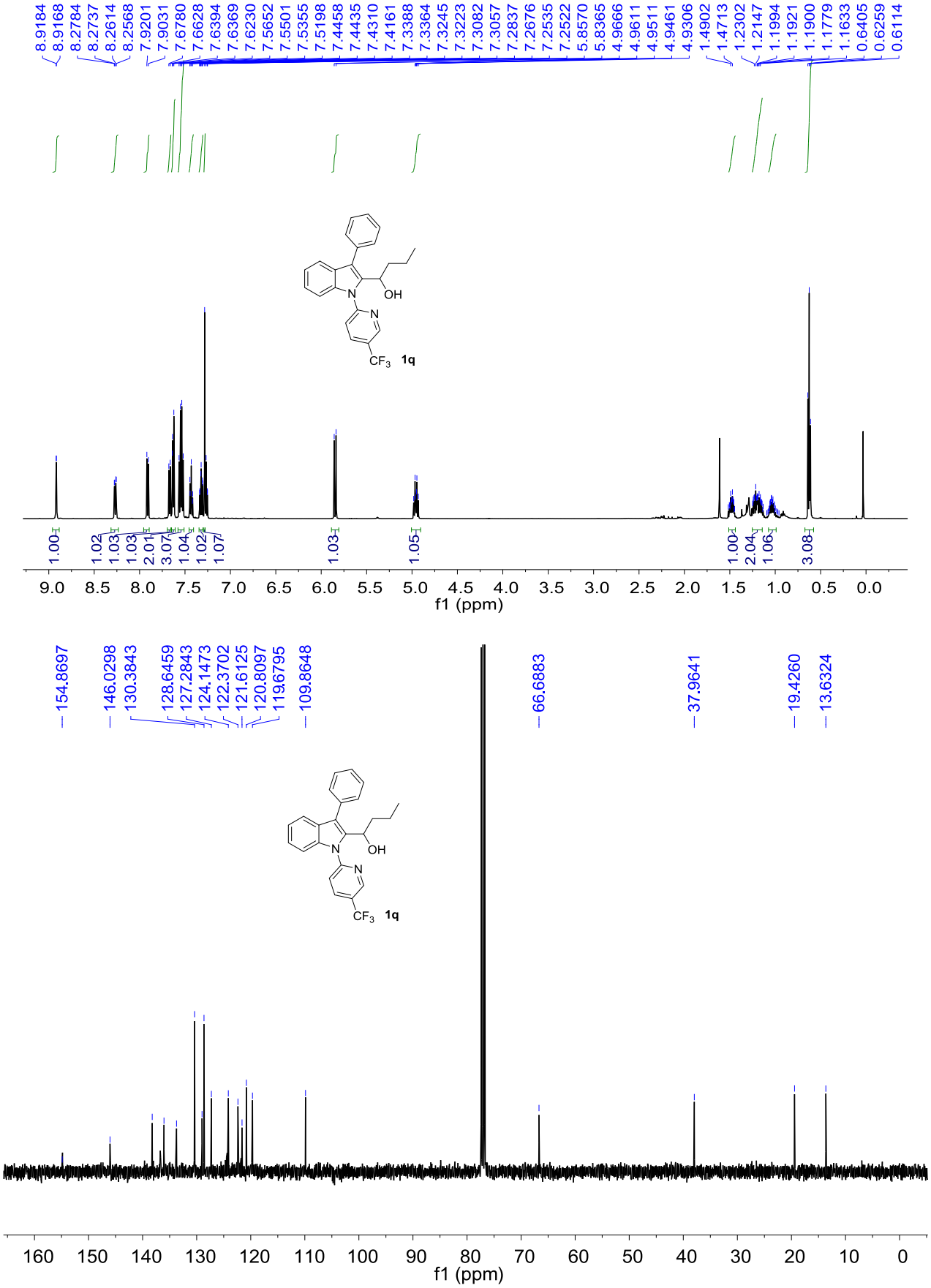


The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1p** (using $CDCl_3$ as solvent)

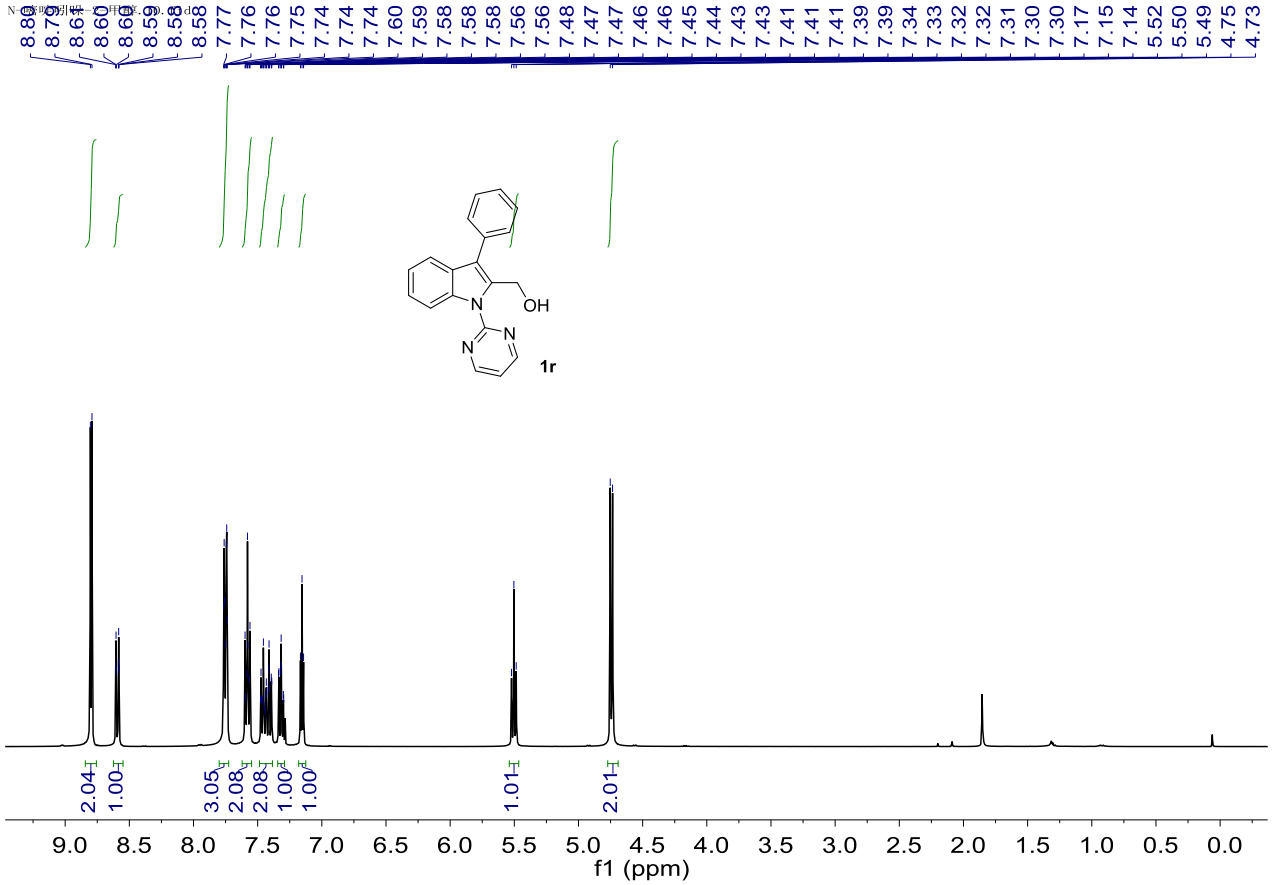


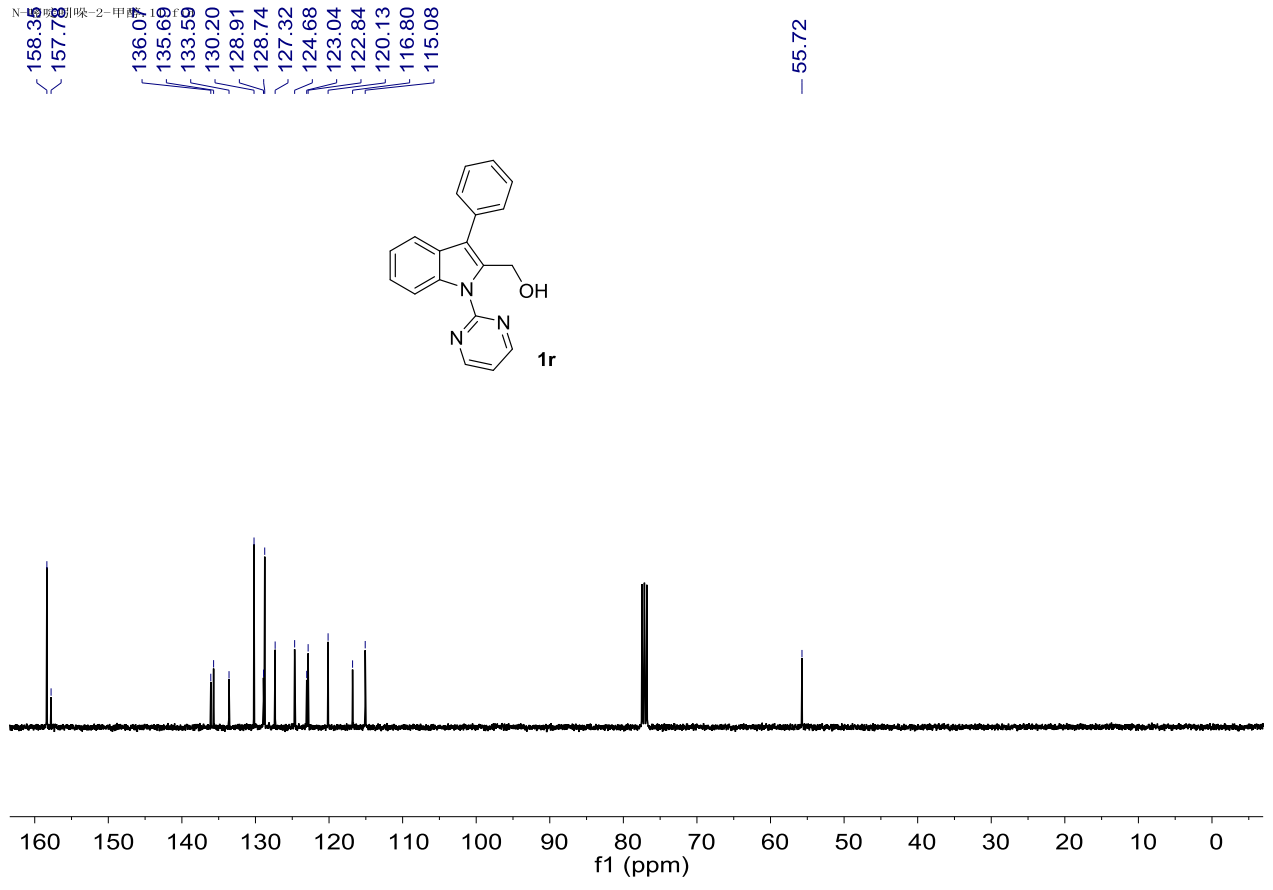


The ^{1}H NMR (500 MHz) and ^{13}C NMR (101 MHz) spectrum for **1q** (using $CDCl_3$ as solvent)

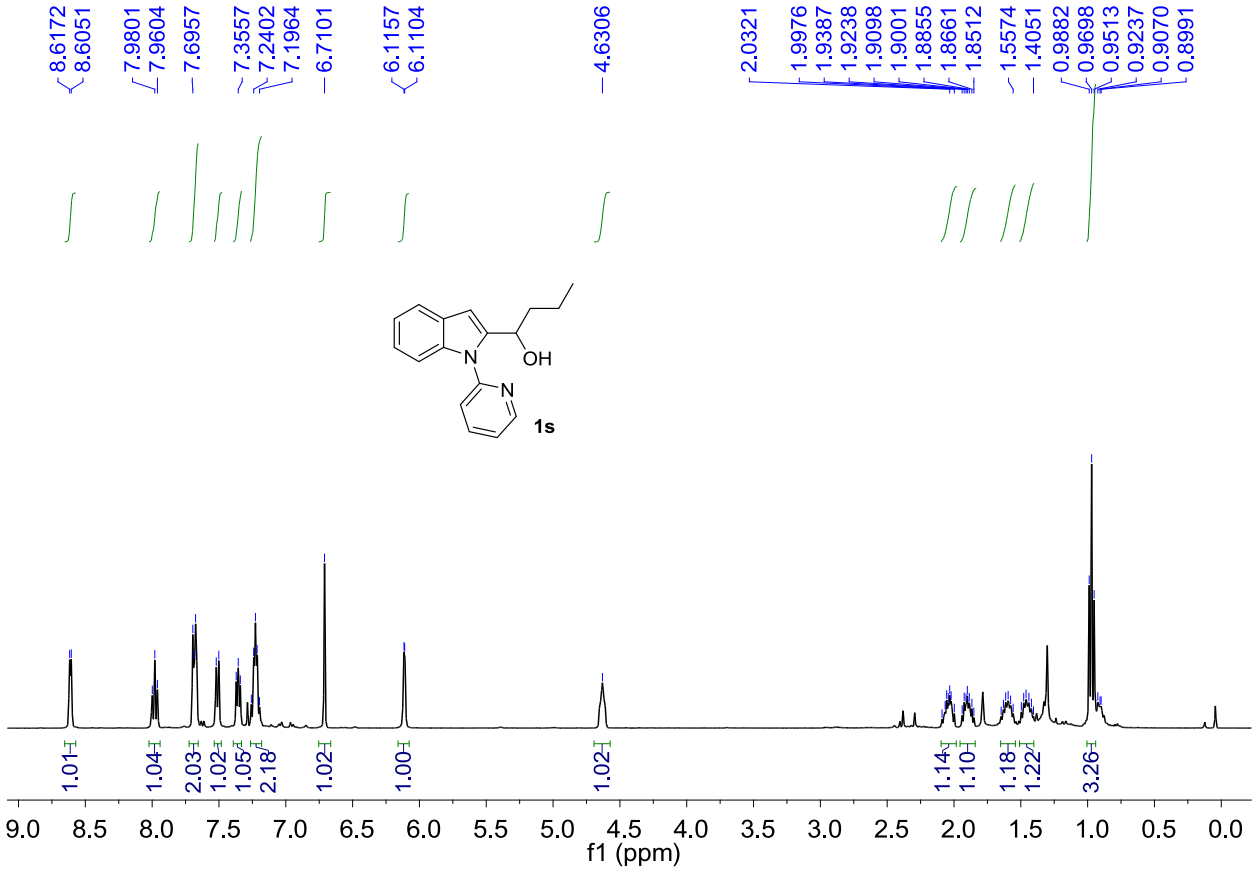


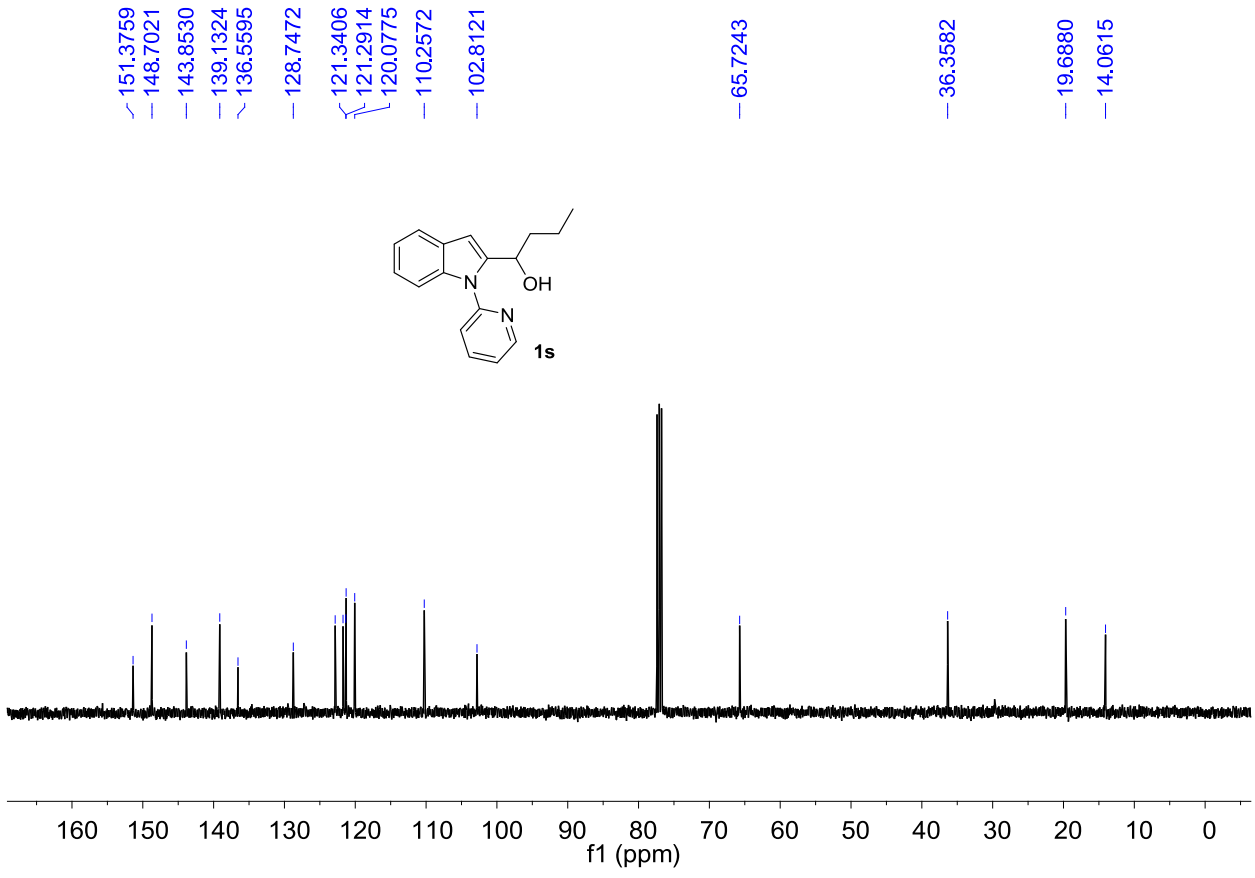
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1r** (using $CDCl_3$ as solvent)



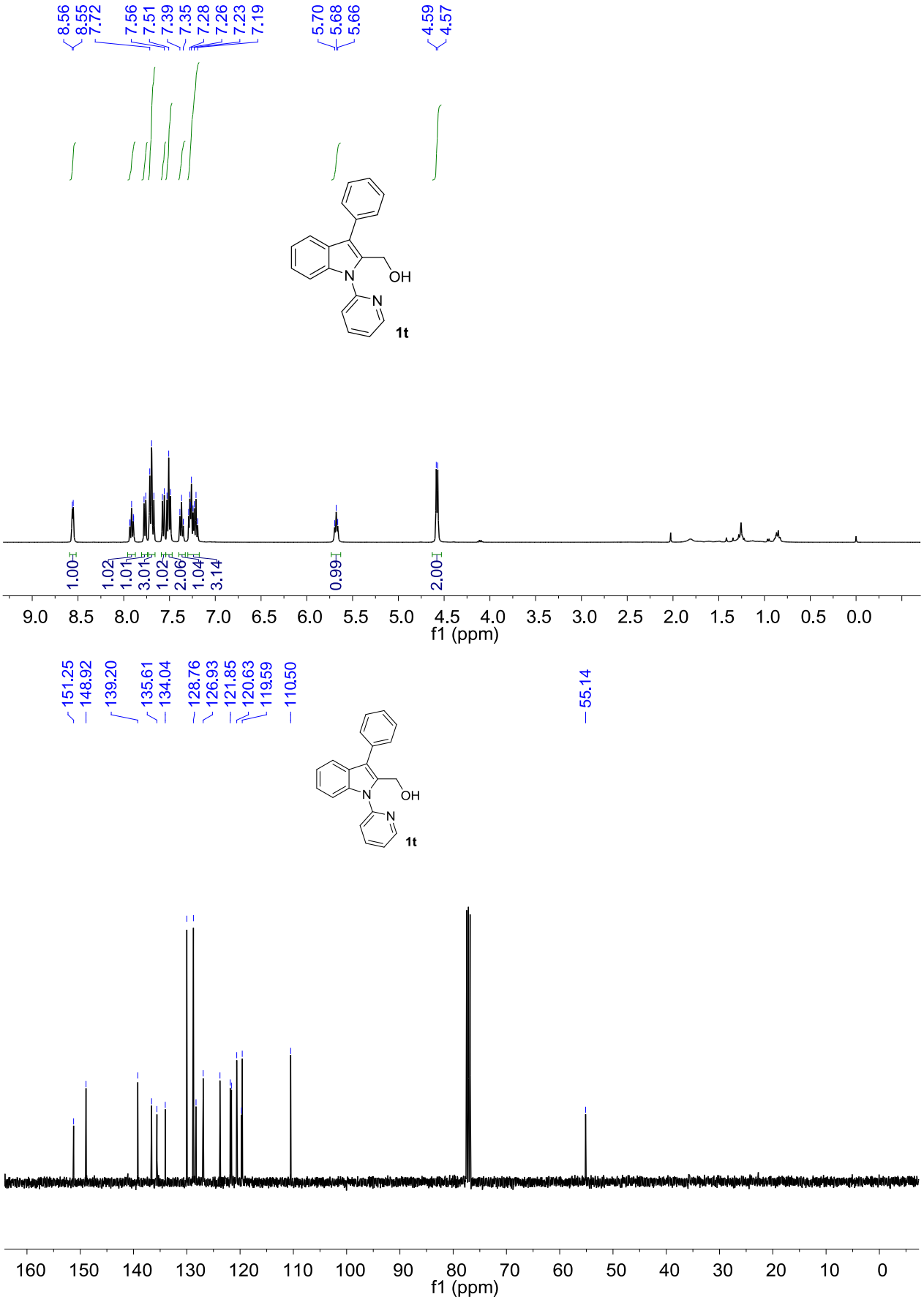


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1s** (using $CDCl_3$ as solvent)

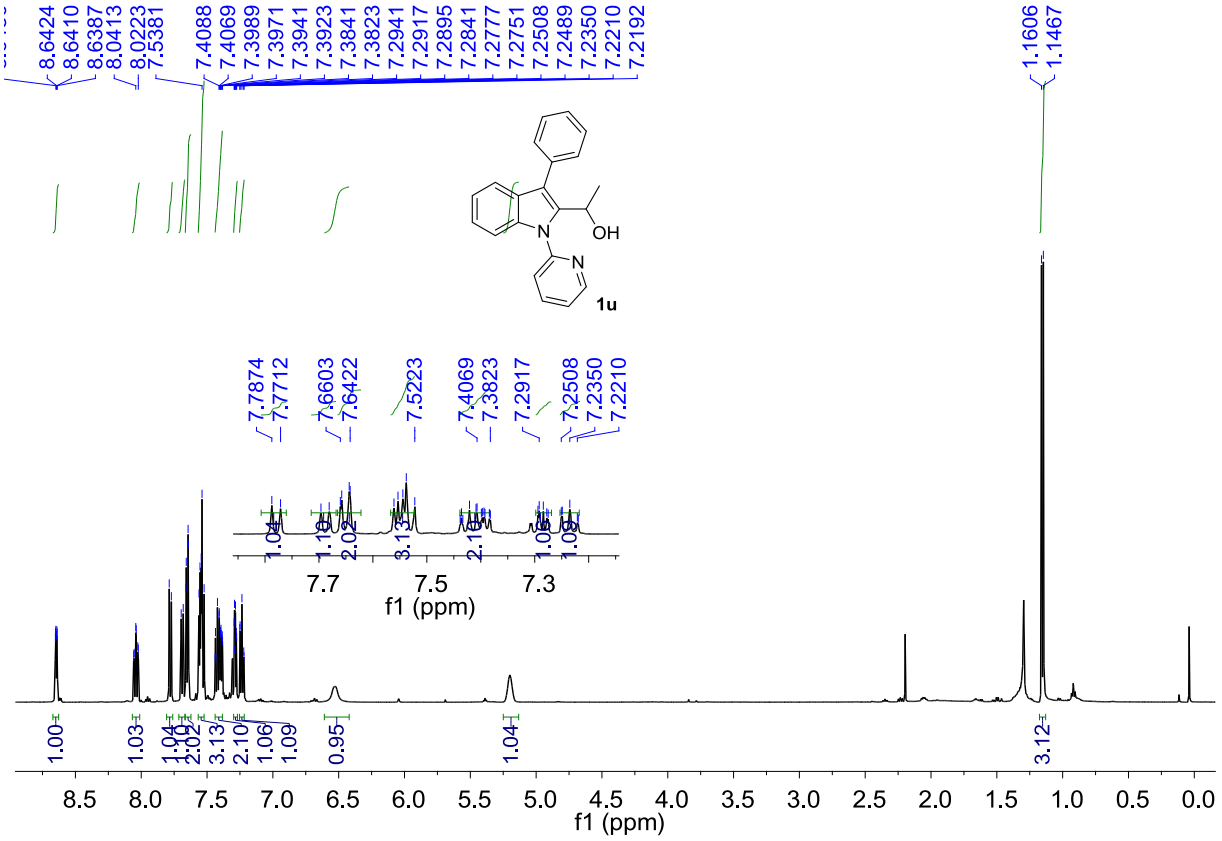


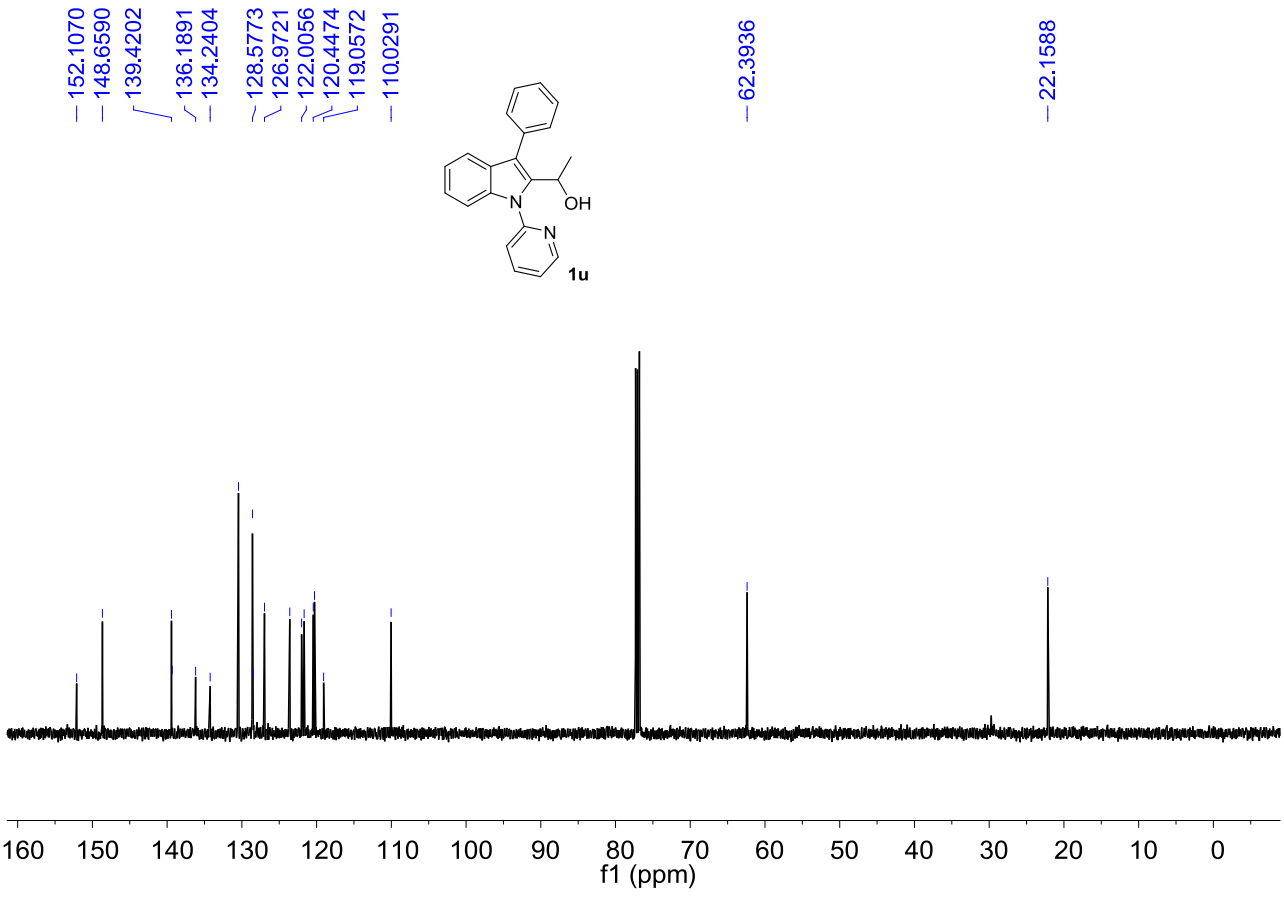


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1t** (using $CDCl_3$ as solvent)

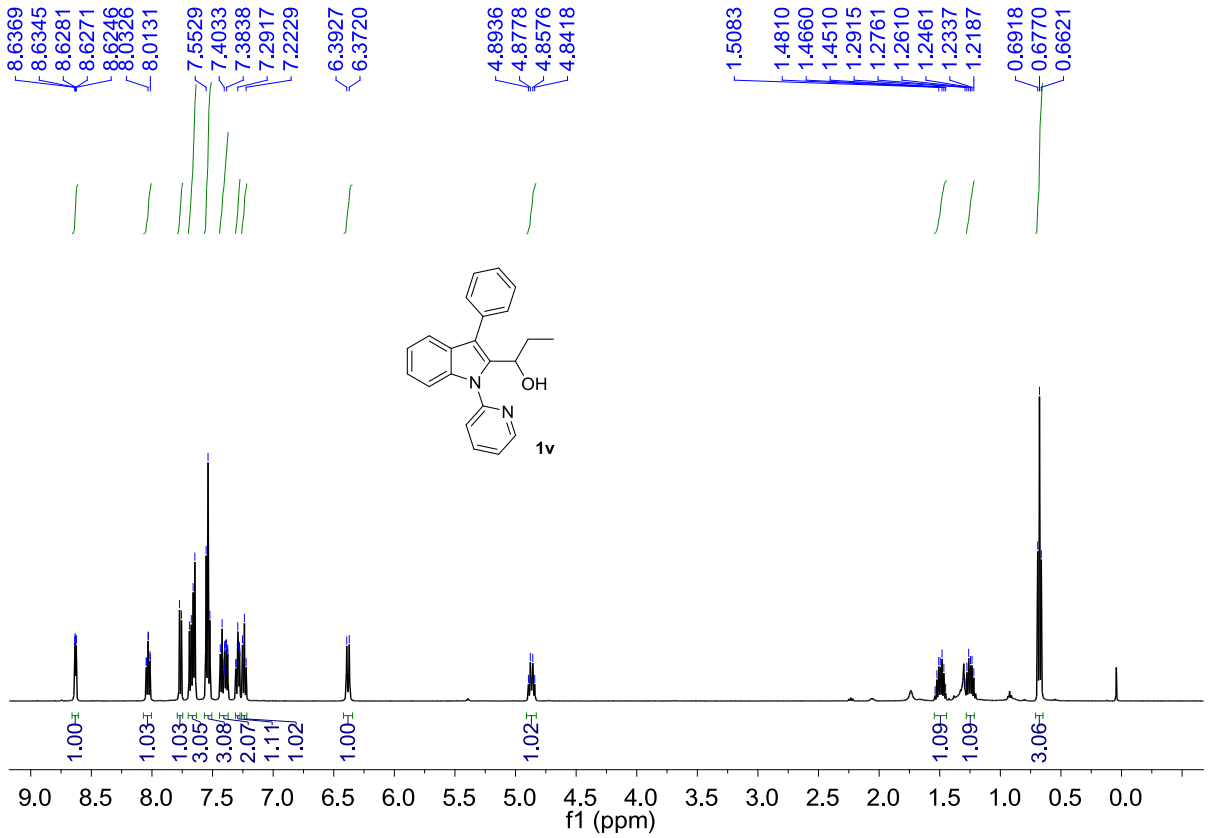


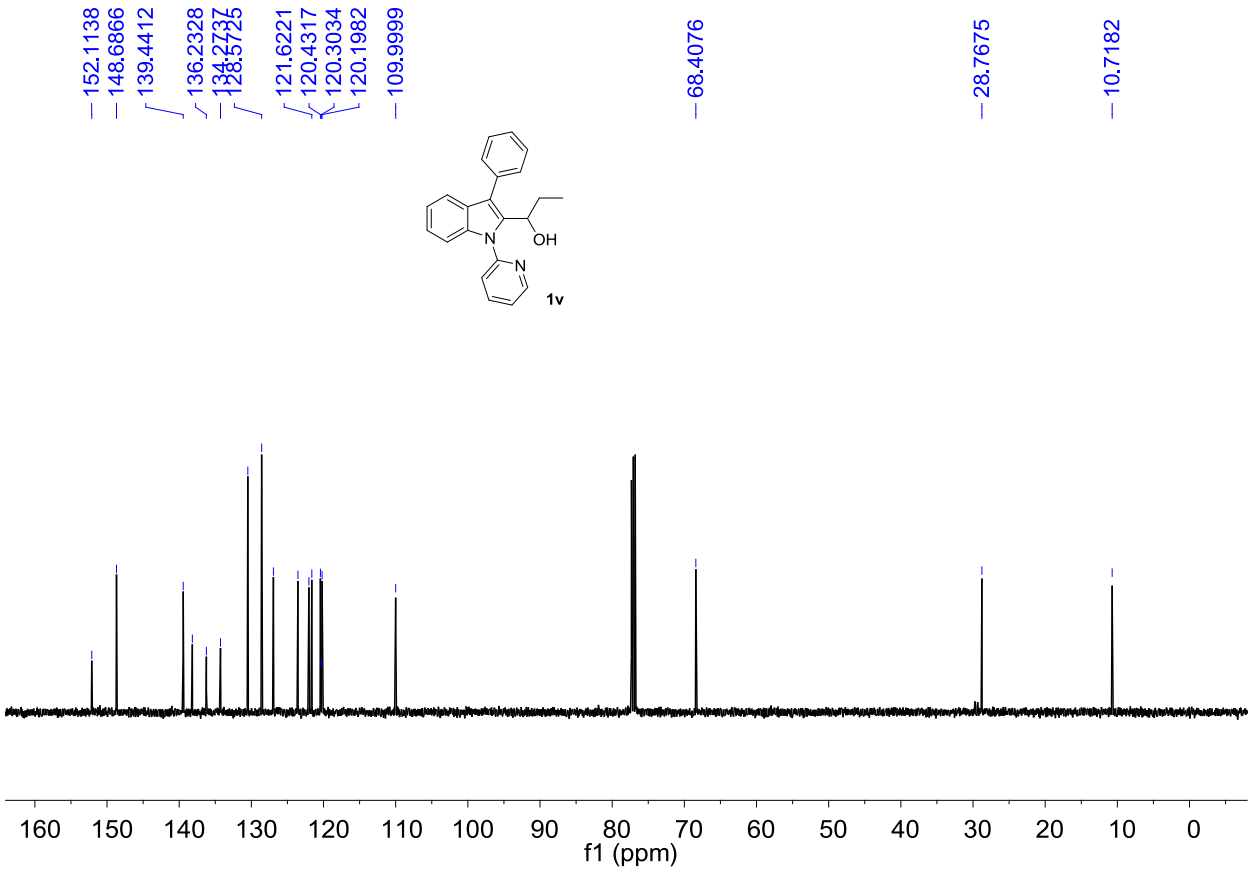
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1u** (using $CDCl_3$ as solvent)



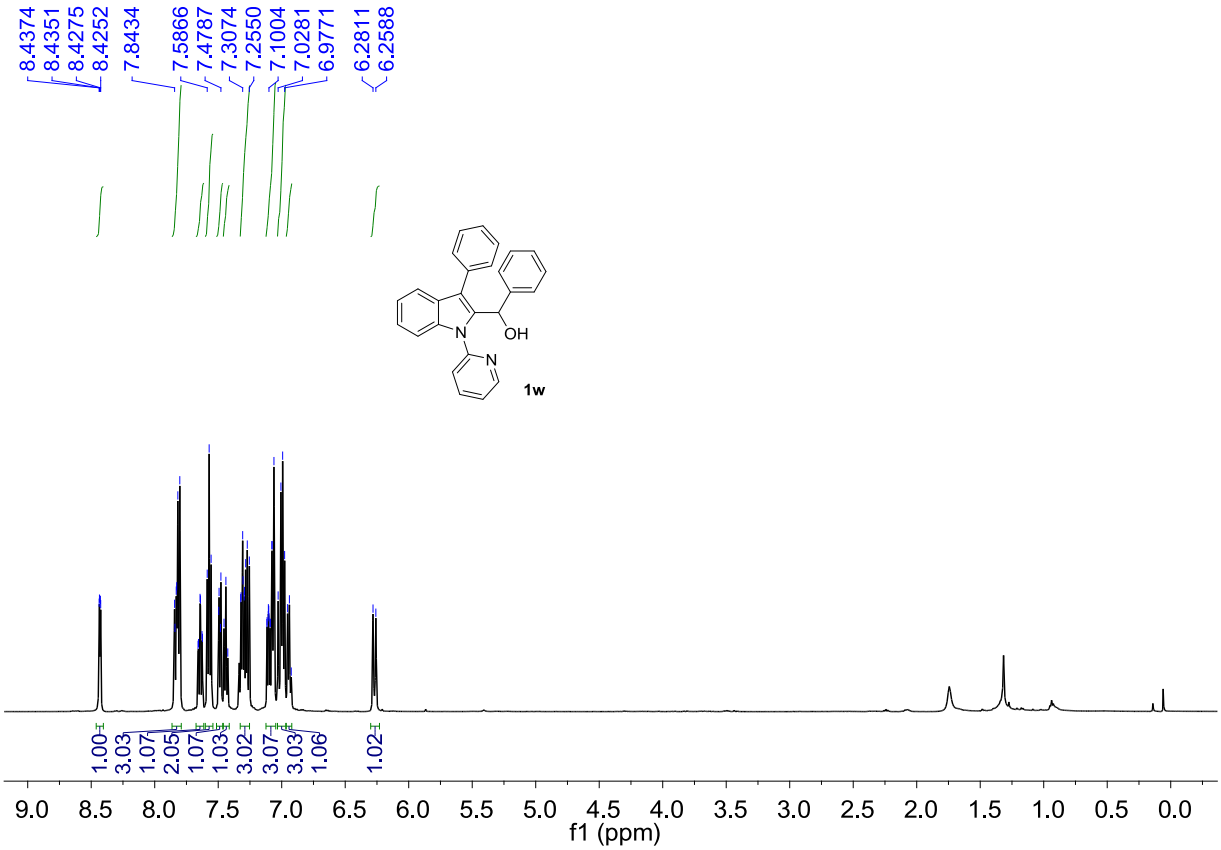


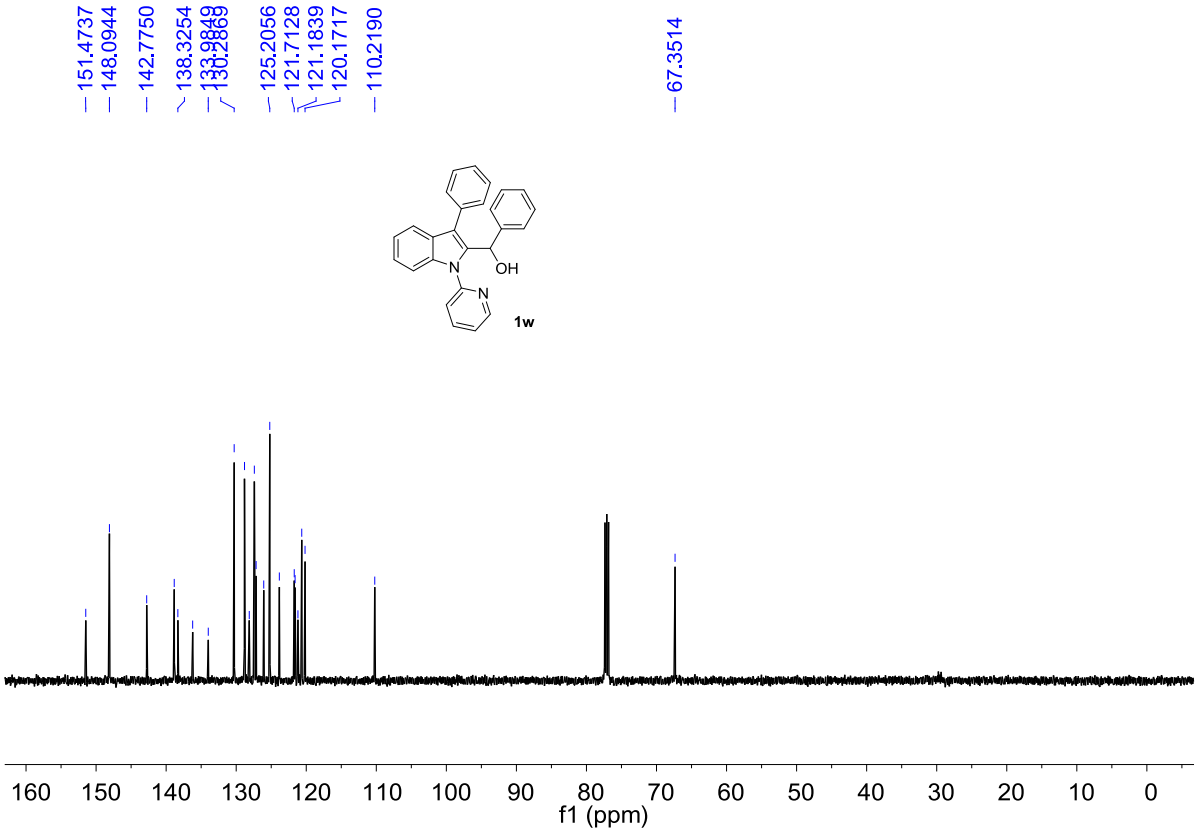
The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1v** (using $CDCl_3$ as solvent)



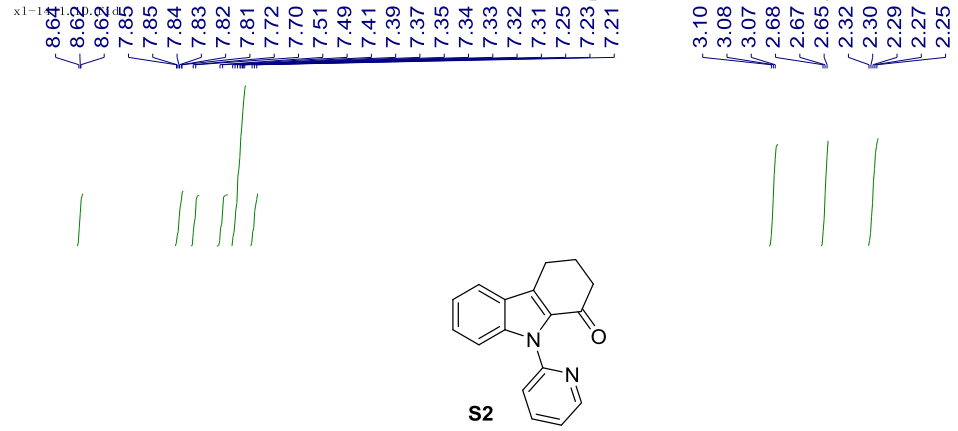


The ^{1}H NMR (500 MHz) and ^{13}C NMR (126 MHz) spectrum for **1w** (using $CDCl_3$ as solvent)

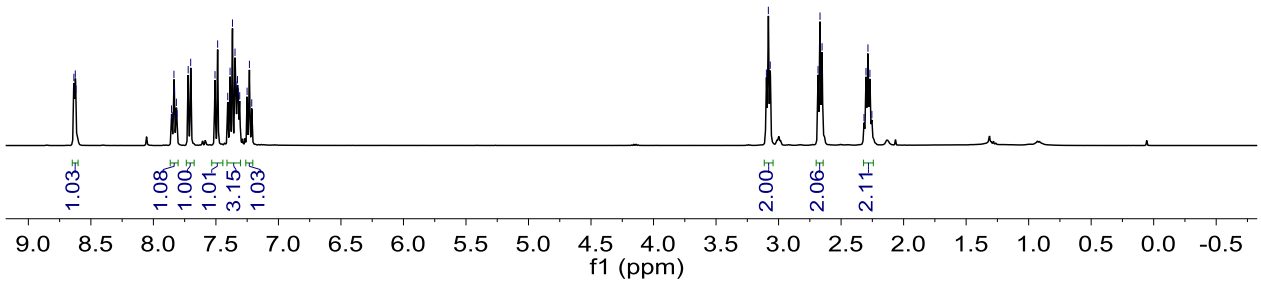


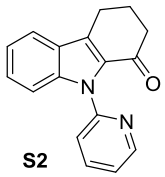


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **S2** (using $CDCl_3$ as solvent)

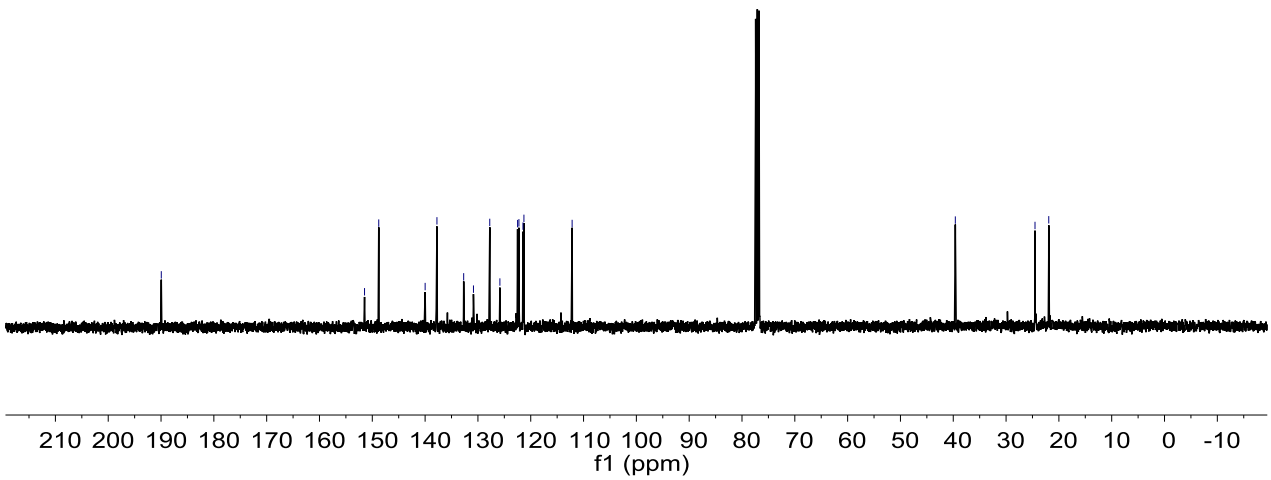


S2

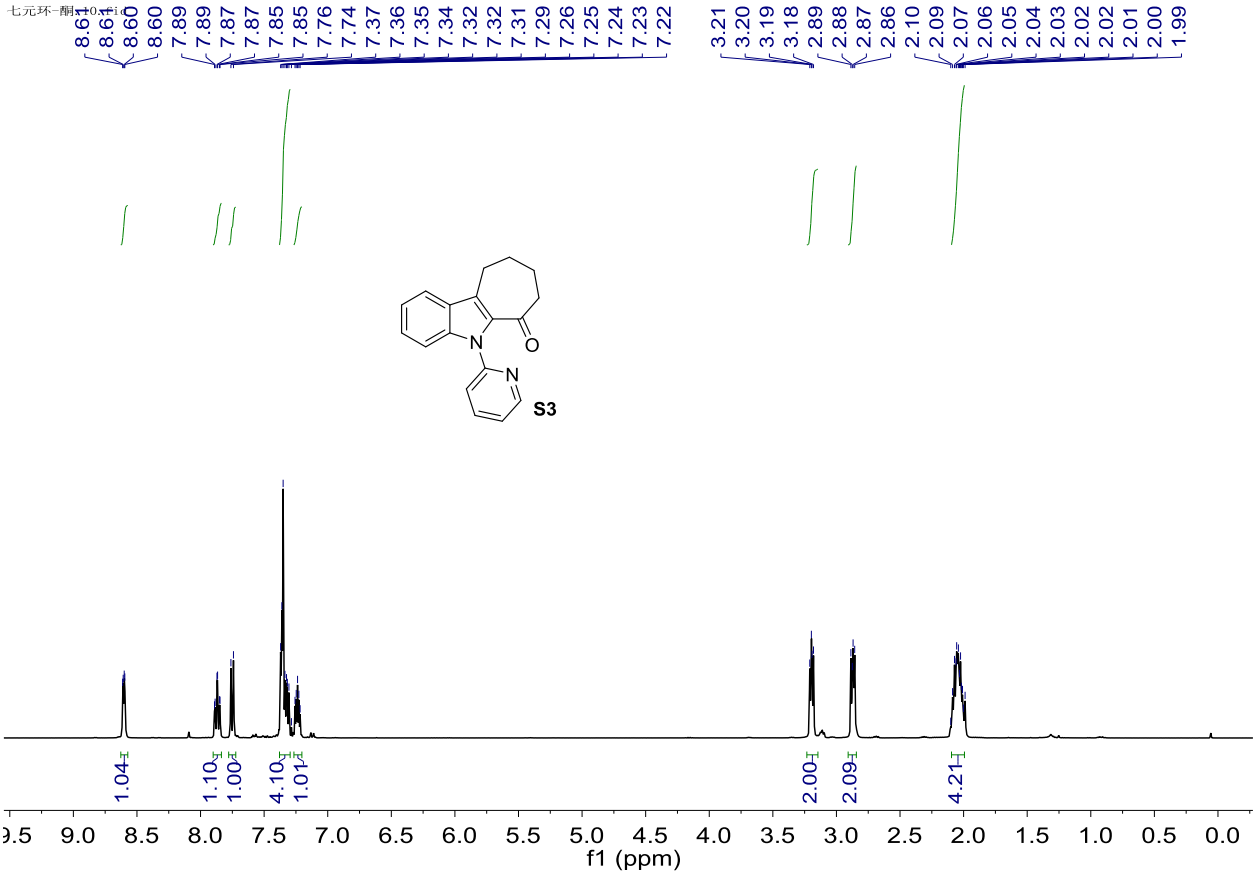


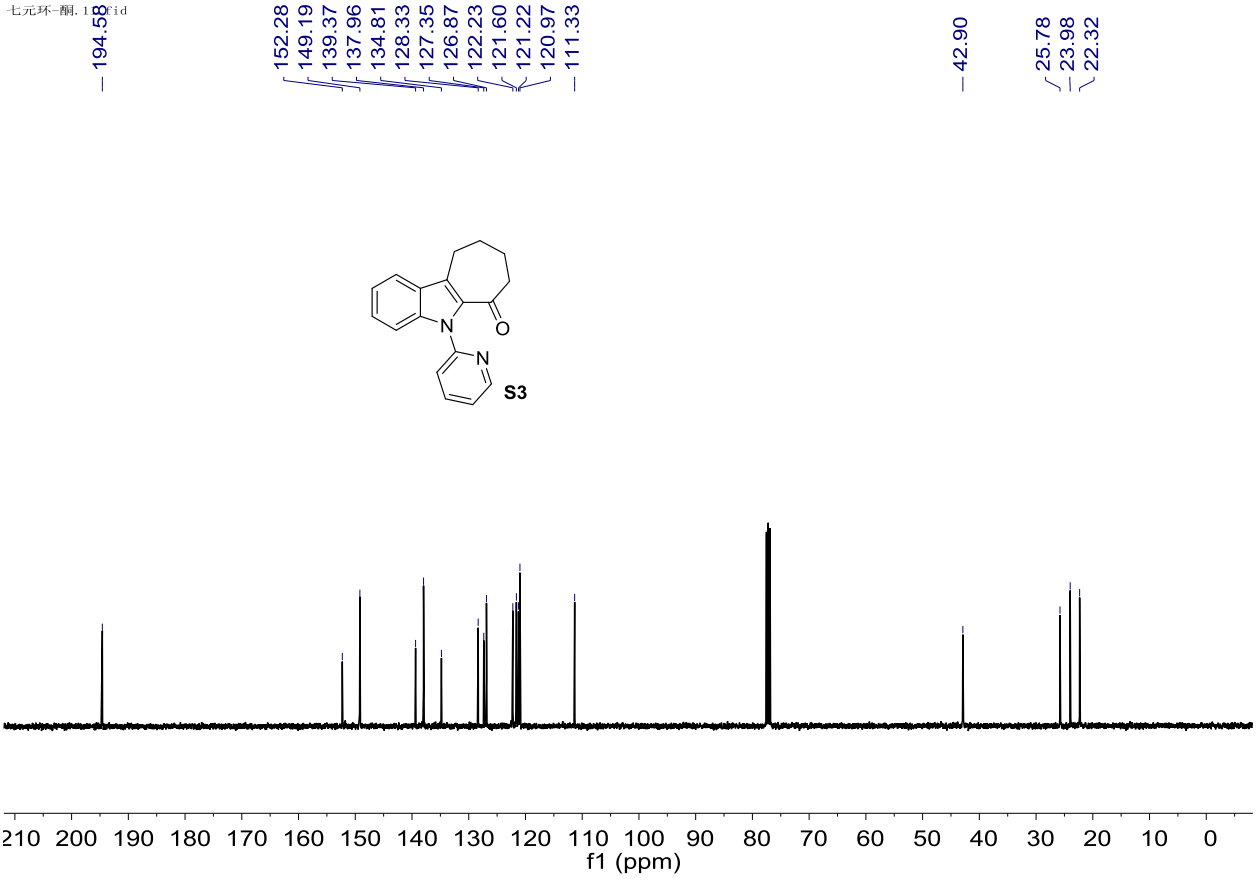


S2

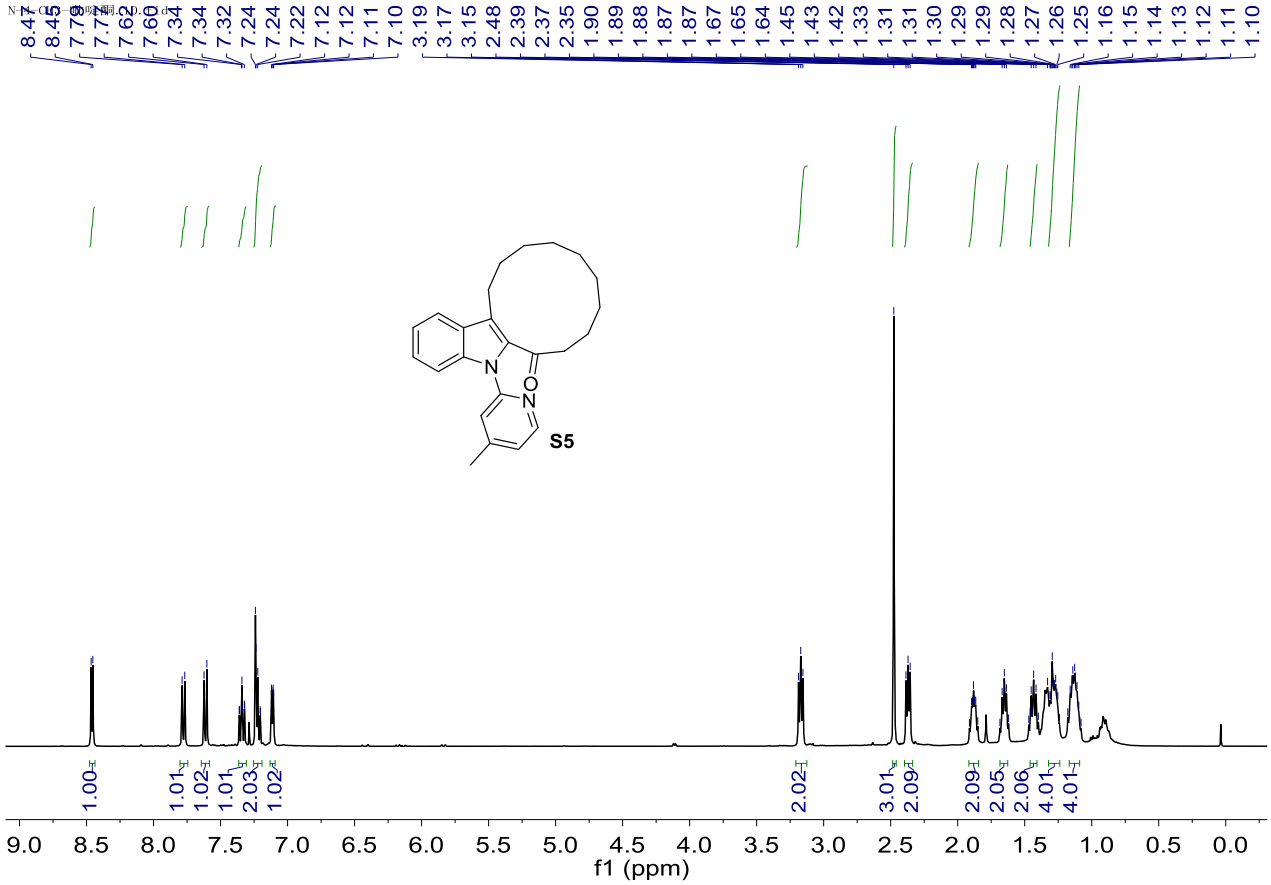


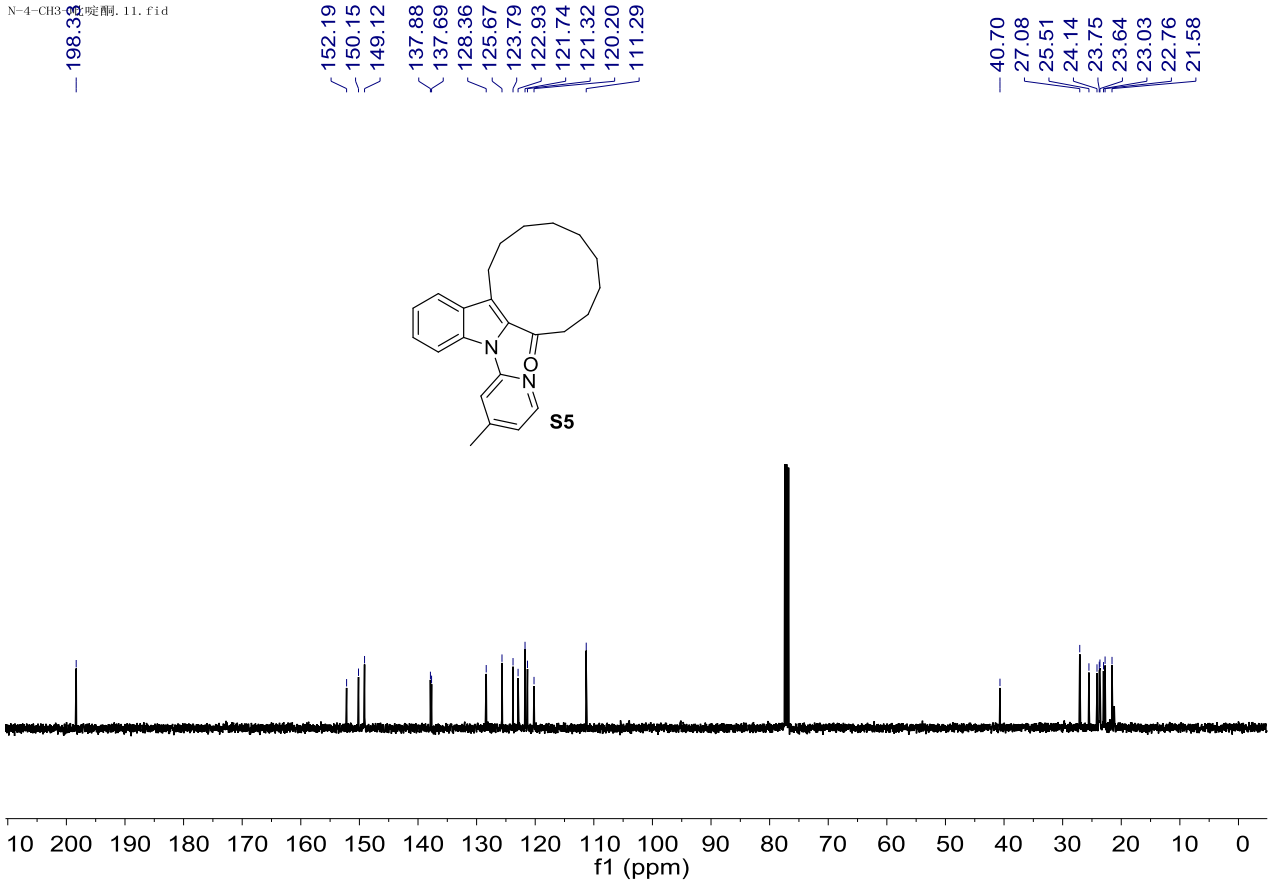
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **S3** (using $CDCl_3$ as solvent)



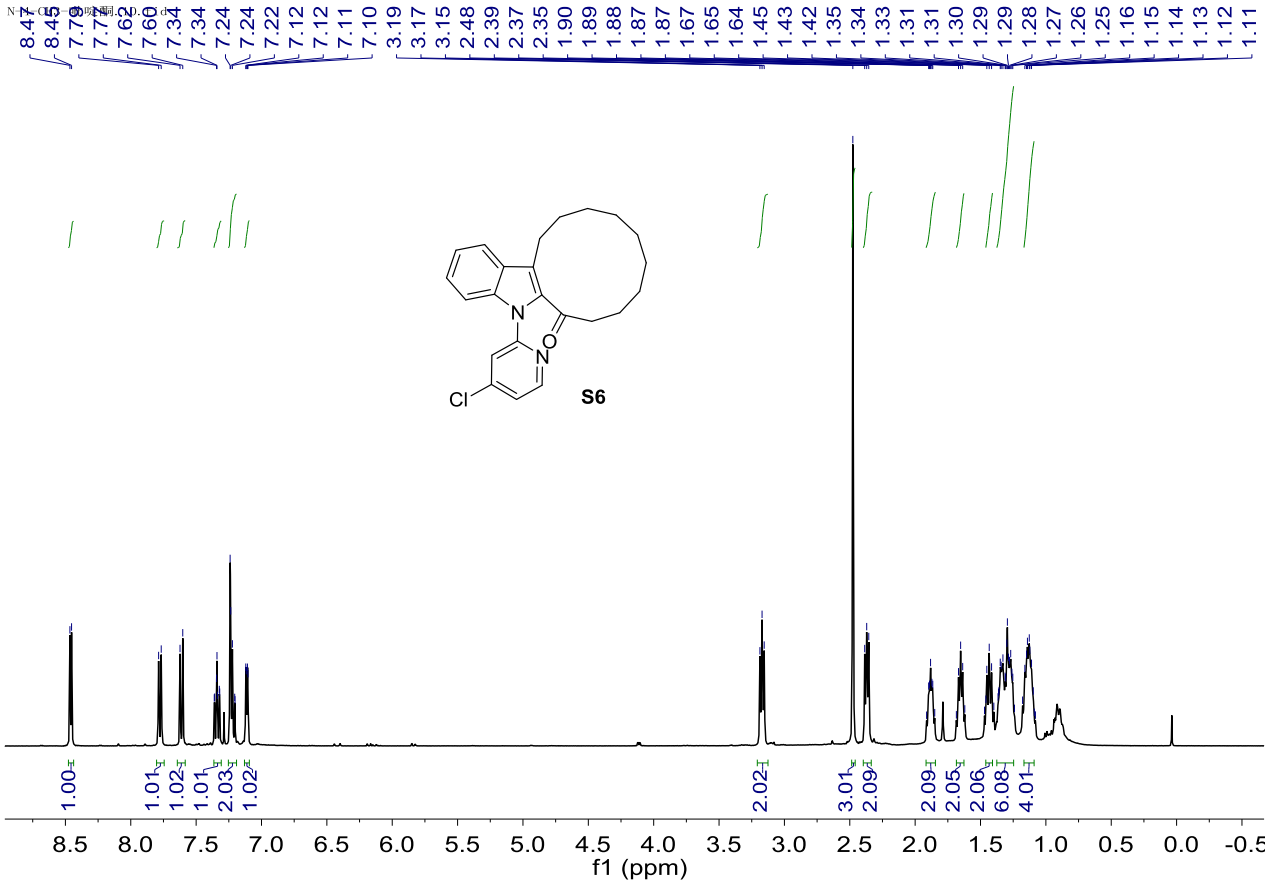


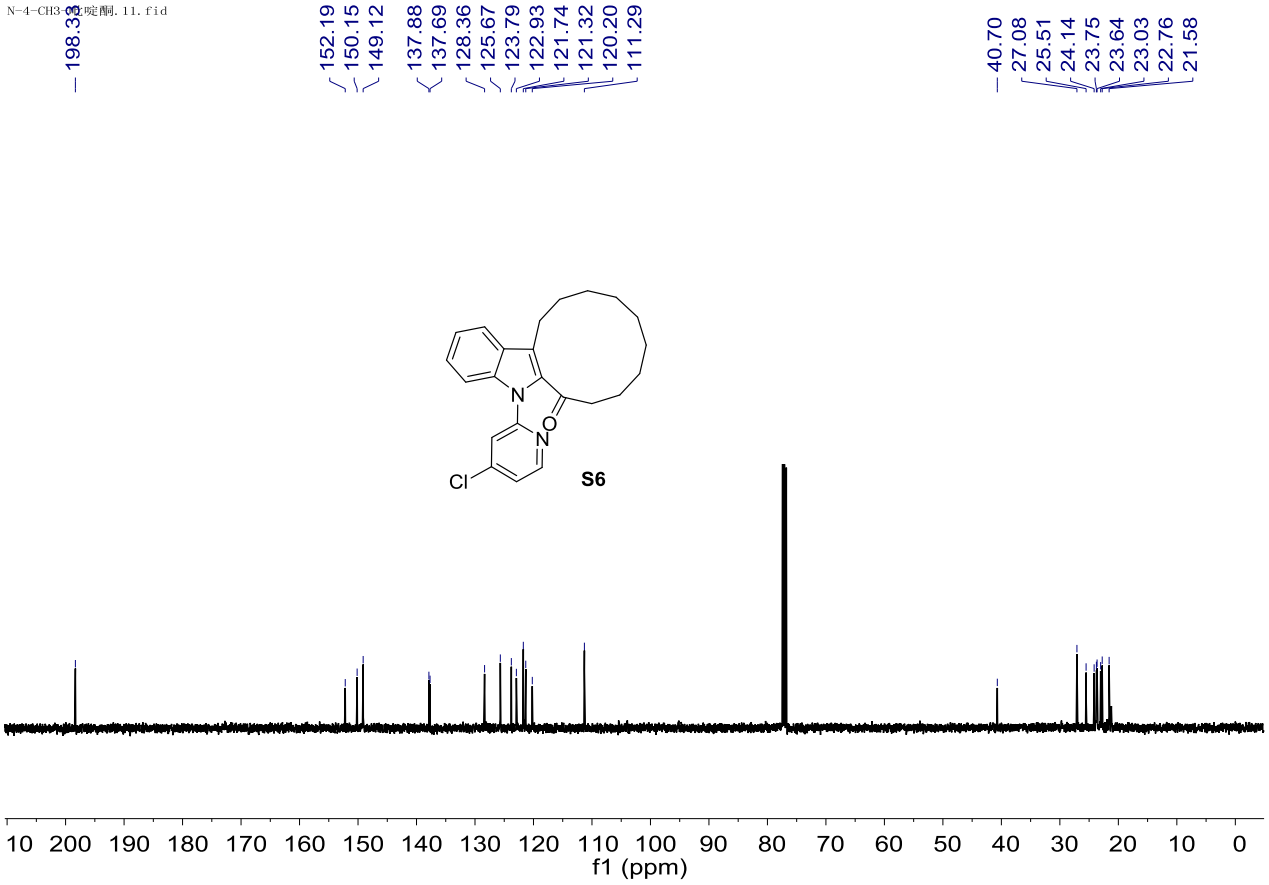
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **S5** (using $CDCl_3$ as solvent)



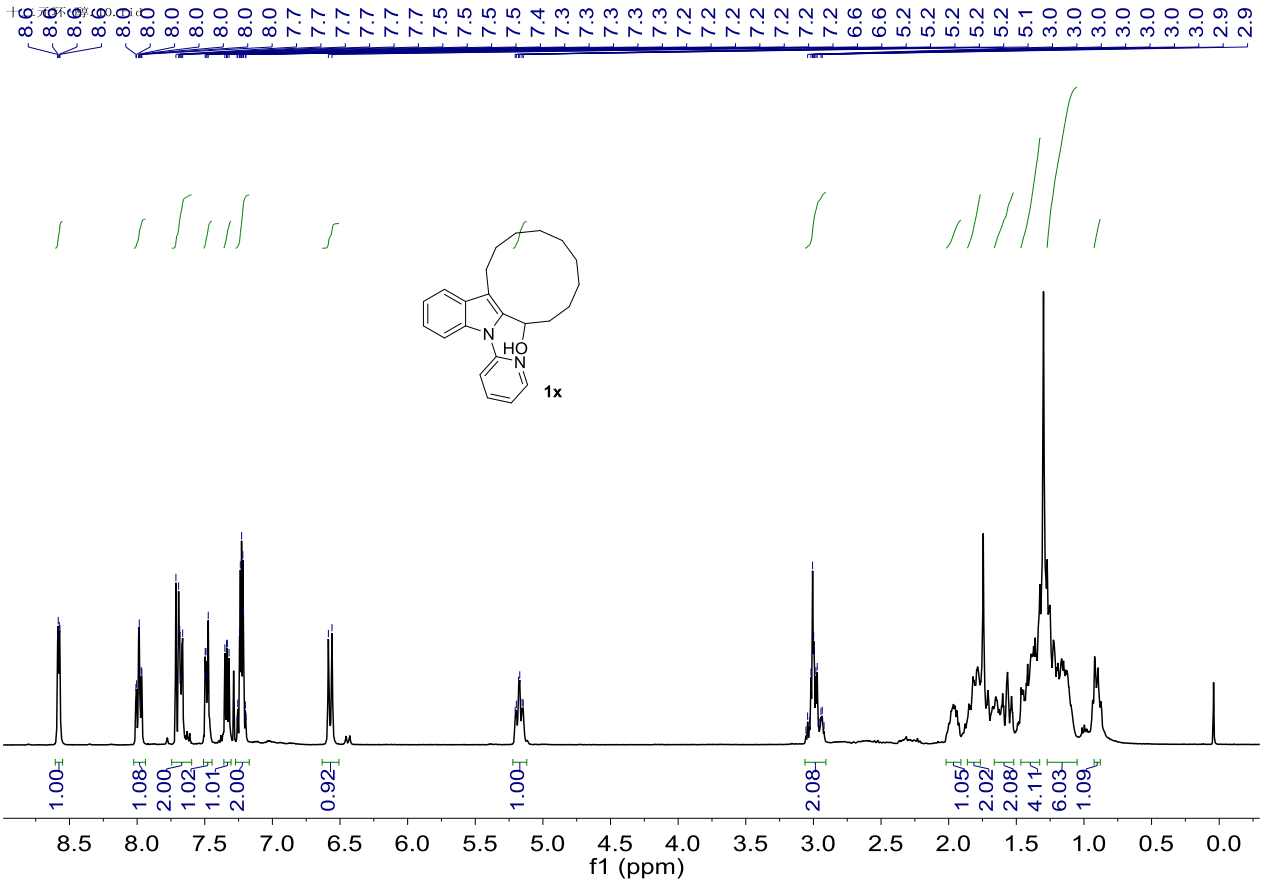


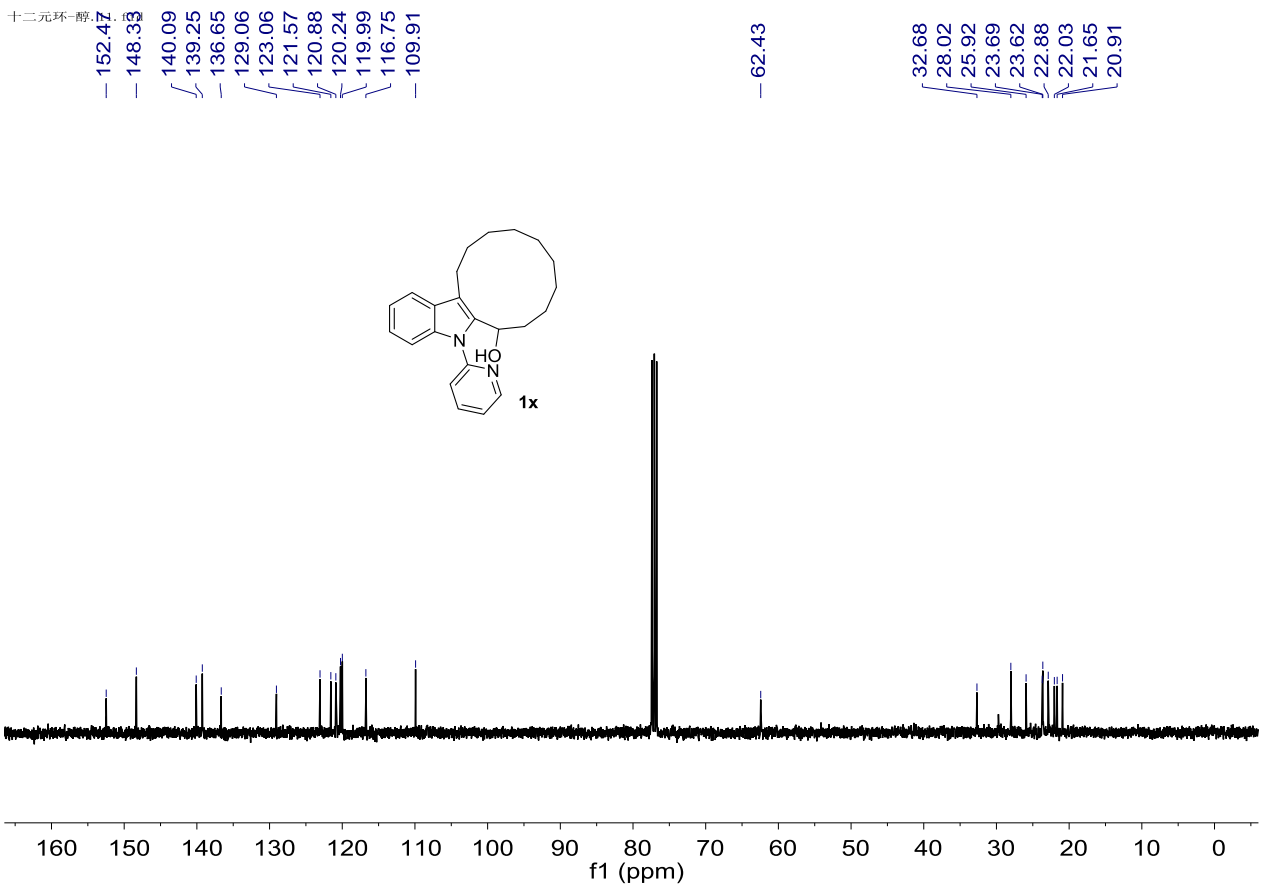
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **S6** (using $CDCl_3$ as solvent)



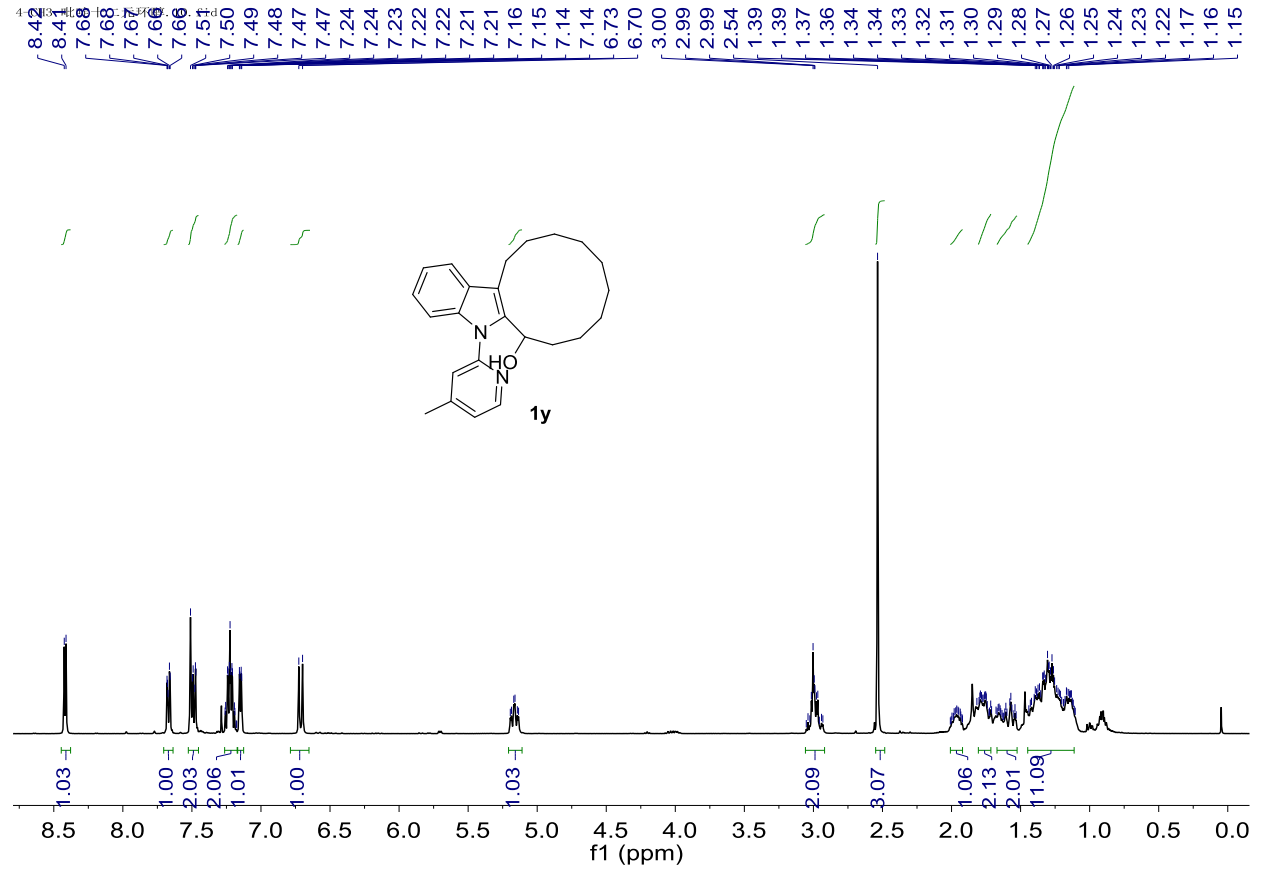


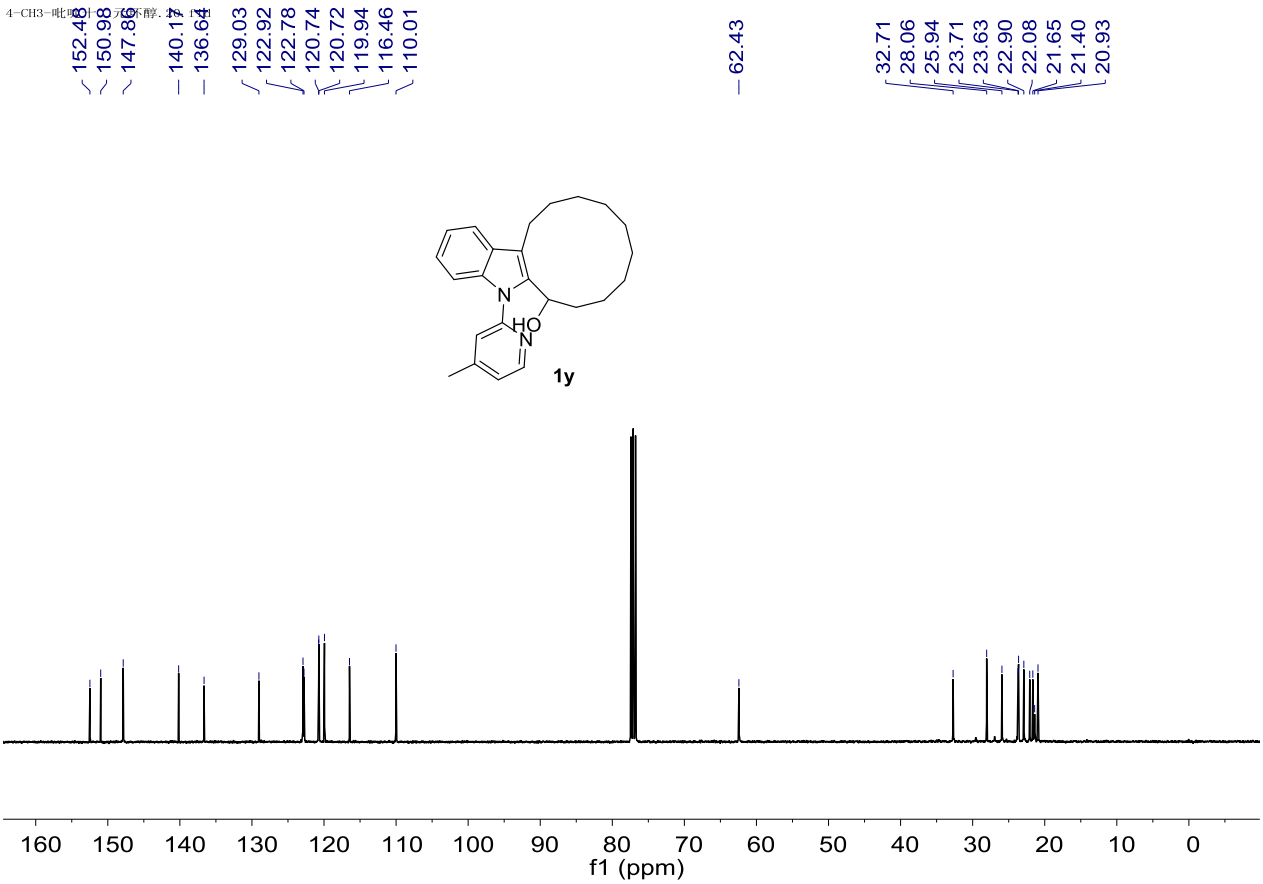
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1x** (using $CDCl_3$ as solvent)



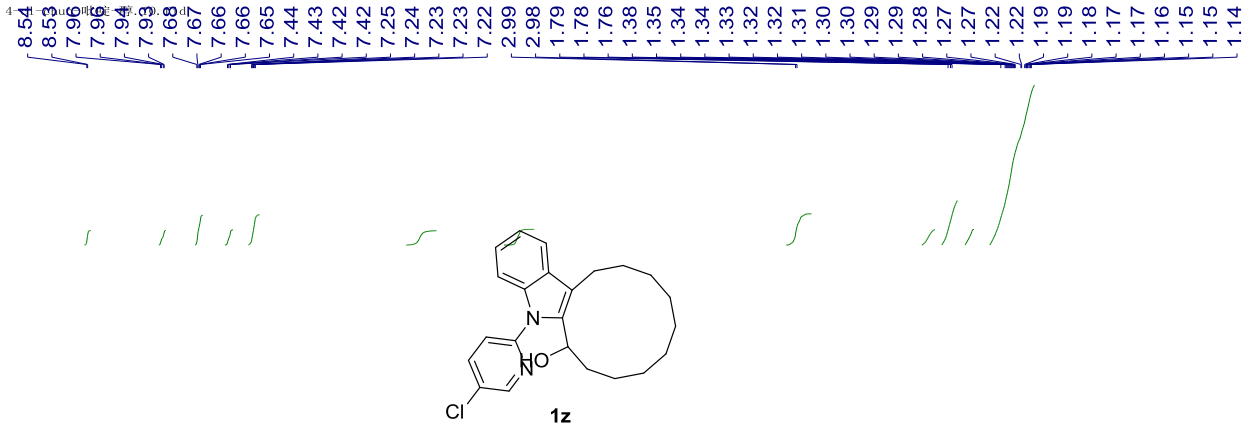


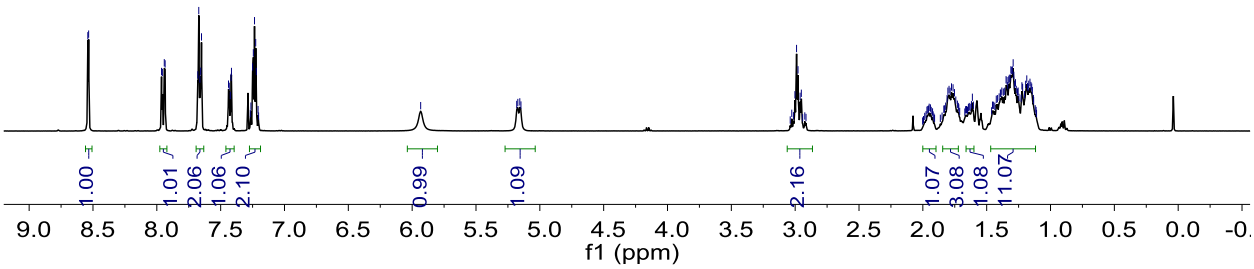
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1y** (using $CDCl_3$ as solvent)

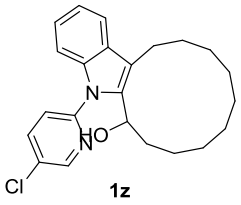


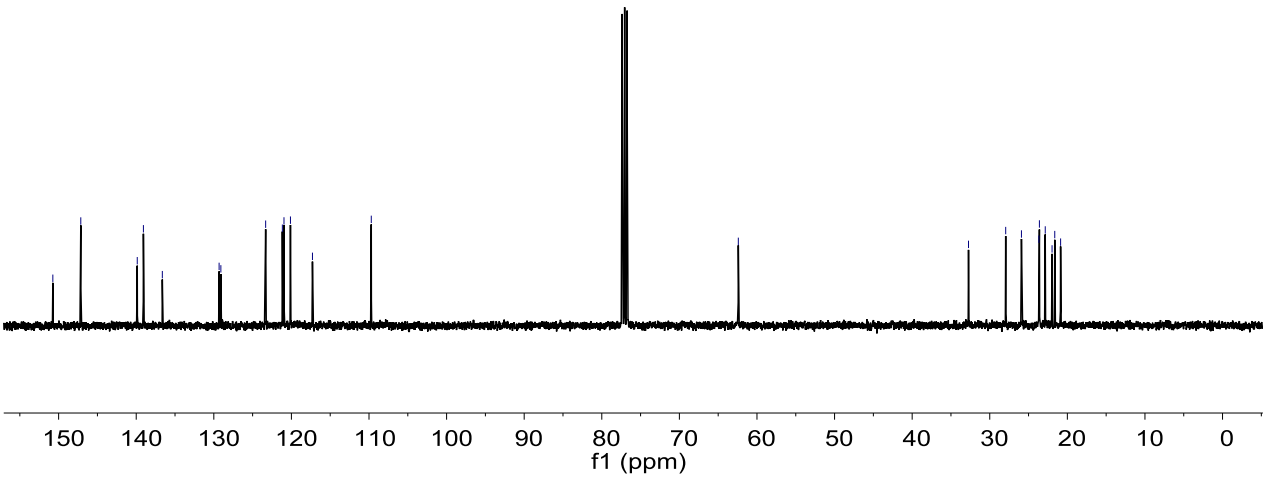


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1z** (using $CDCl_3$ as solvent)

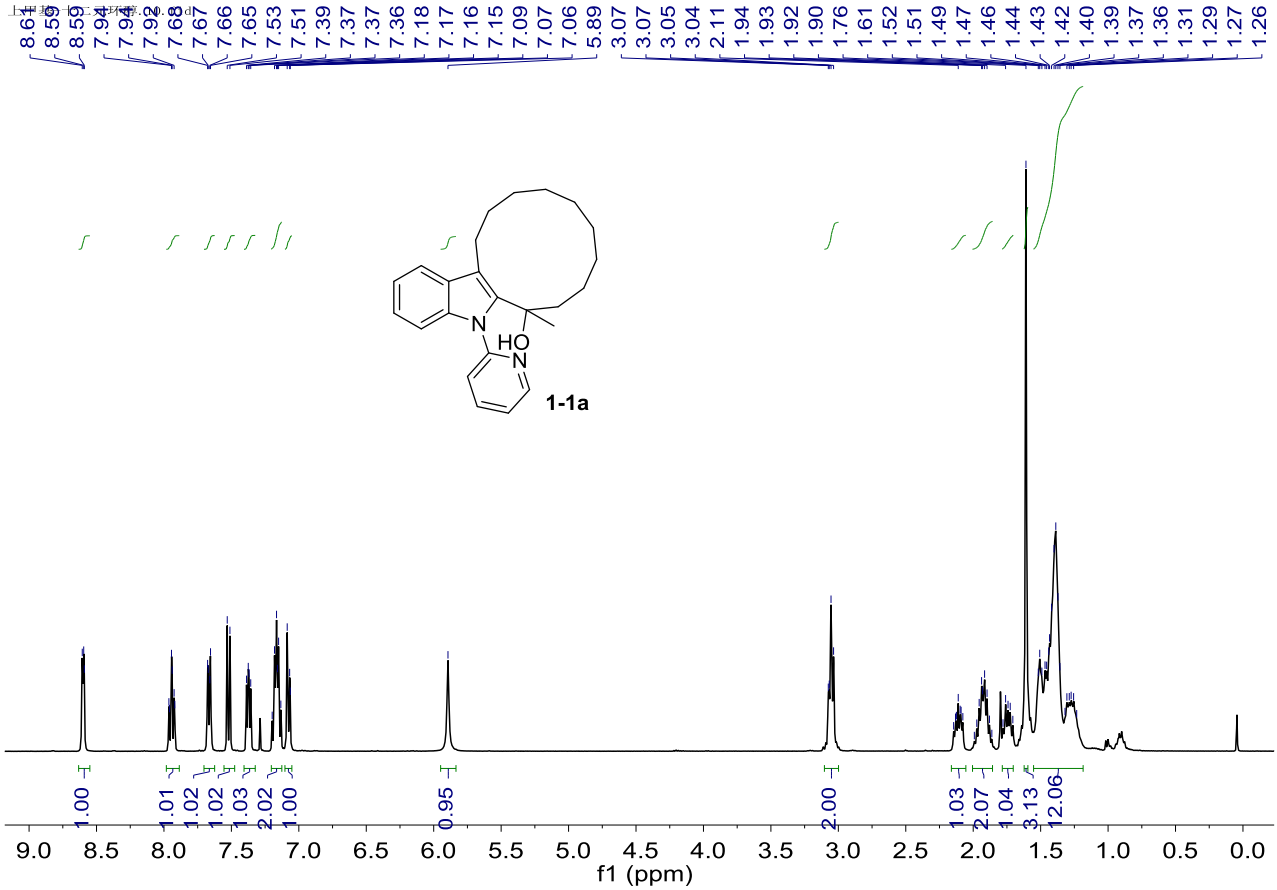


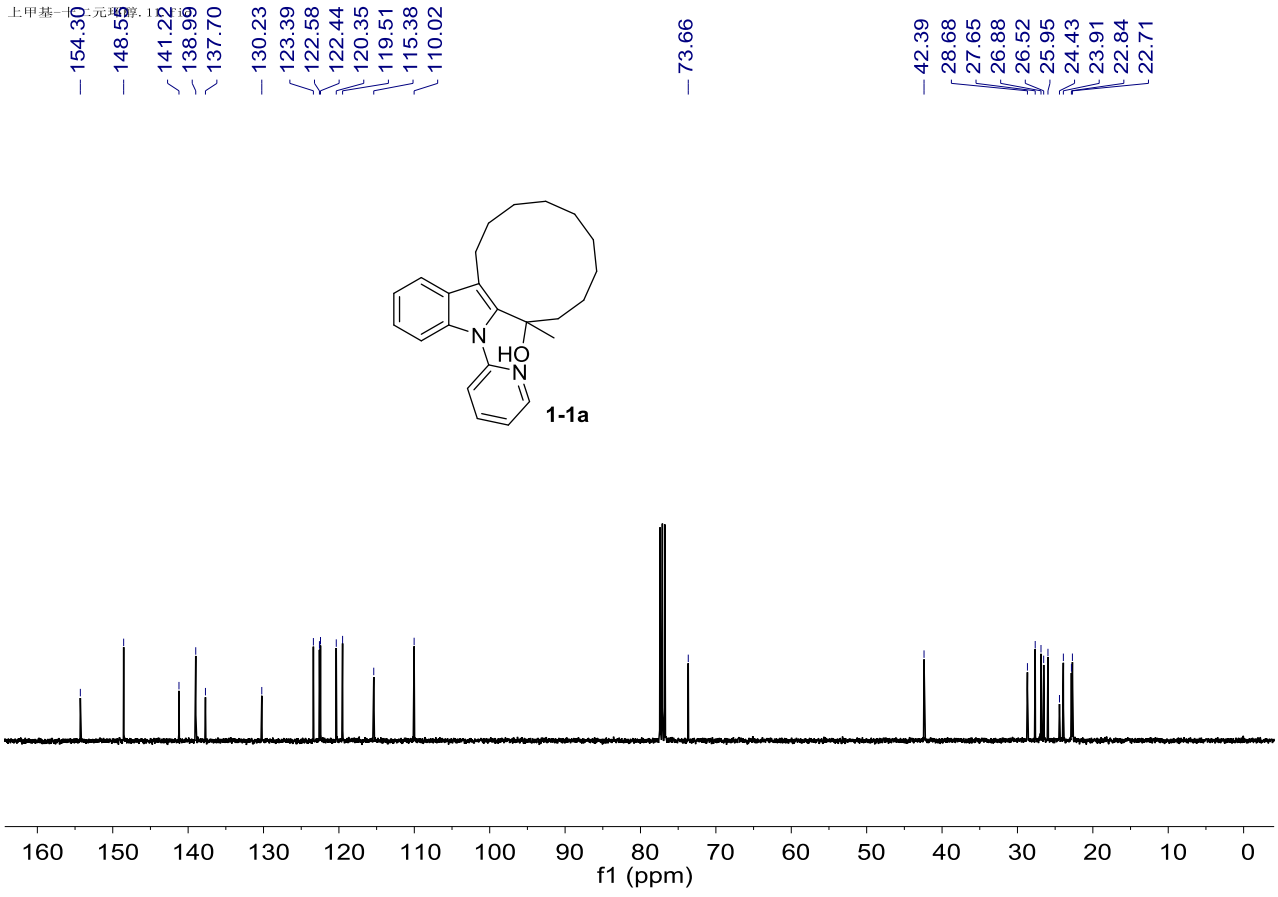




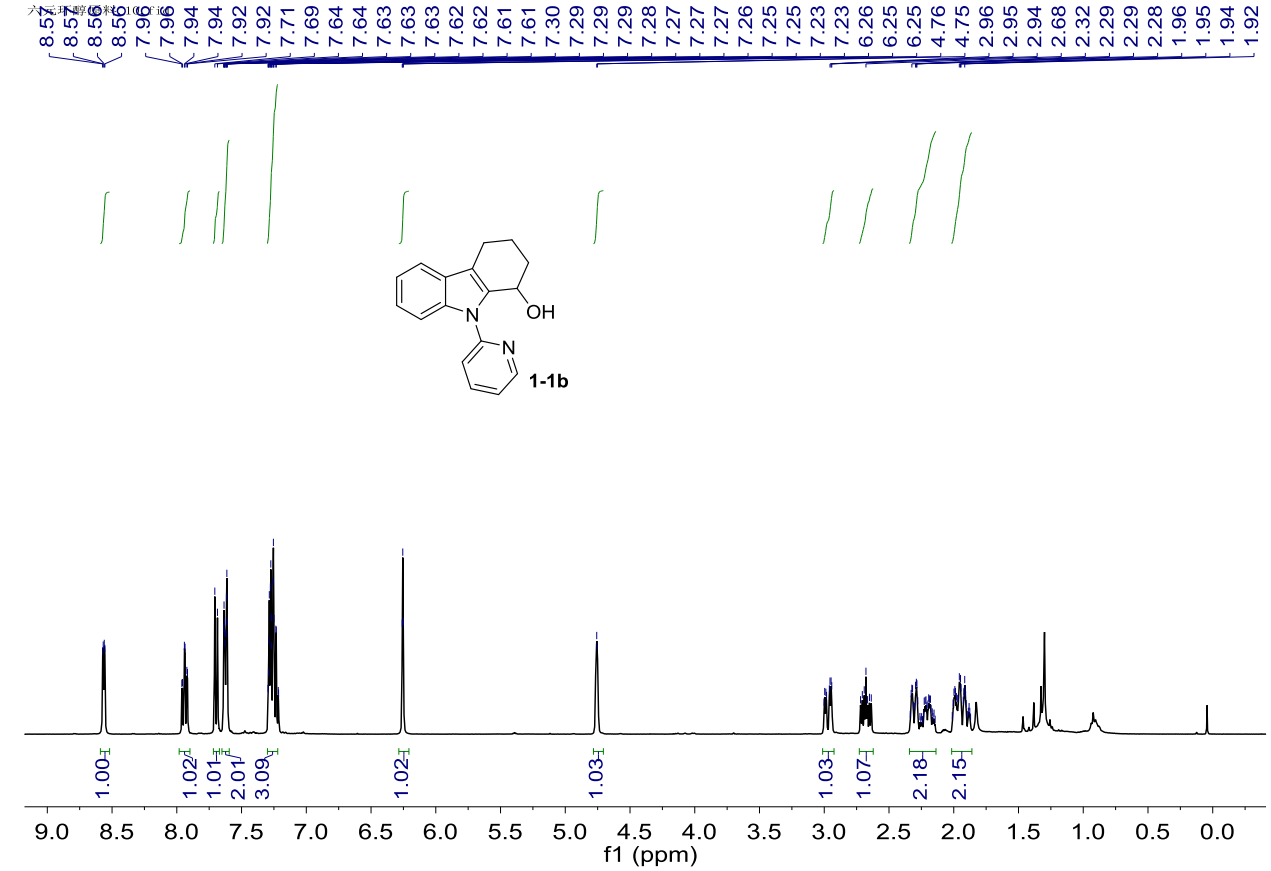


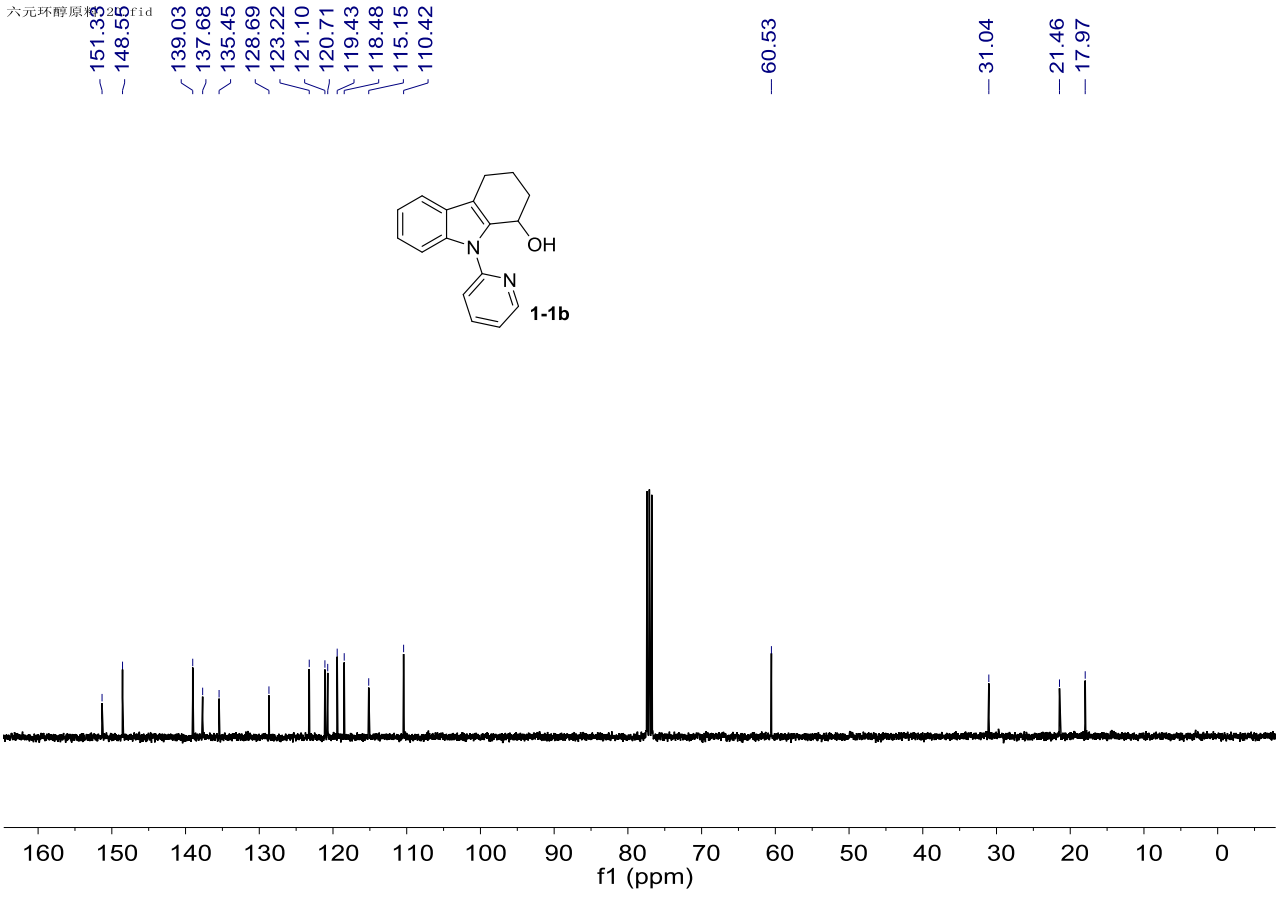
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1-1a** (using $CDCl_3$ as solvent)



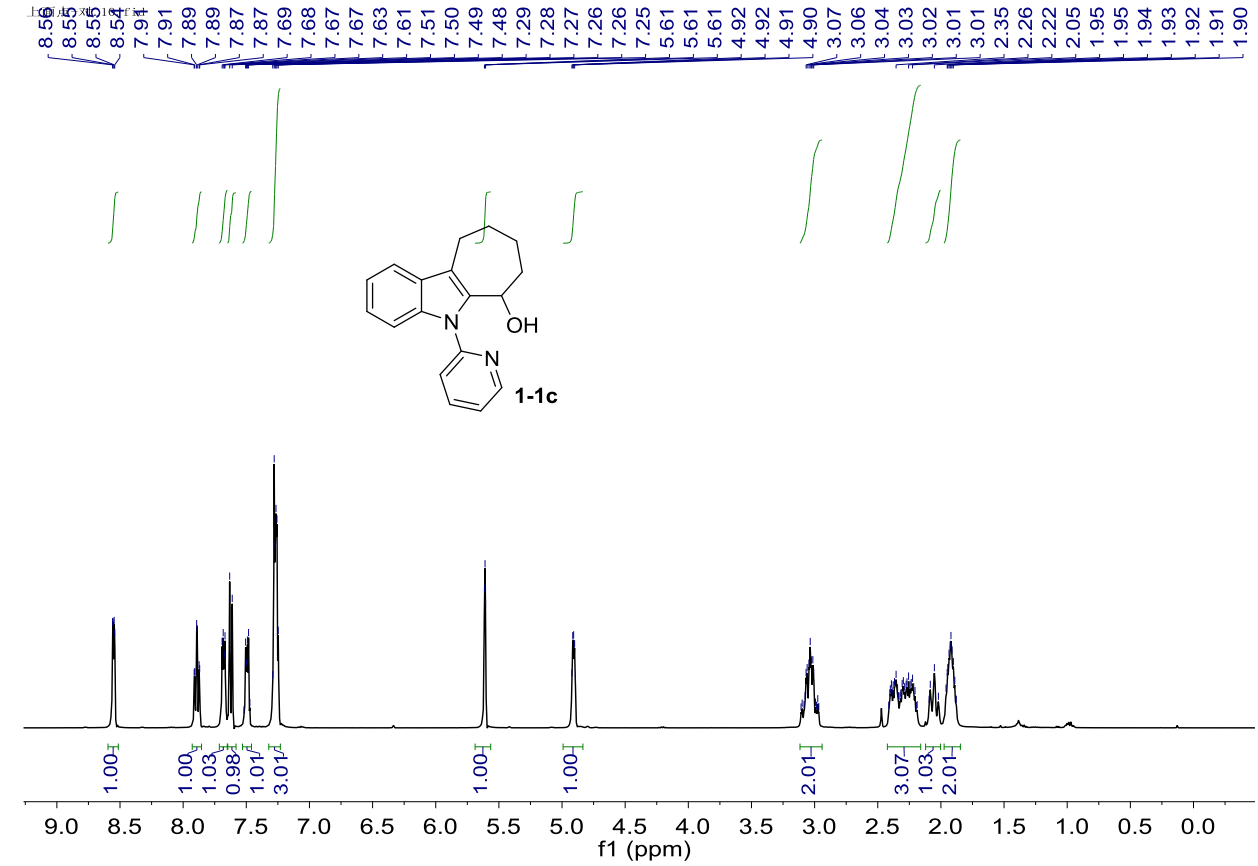


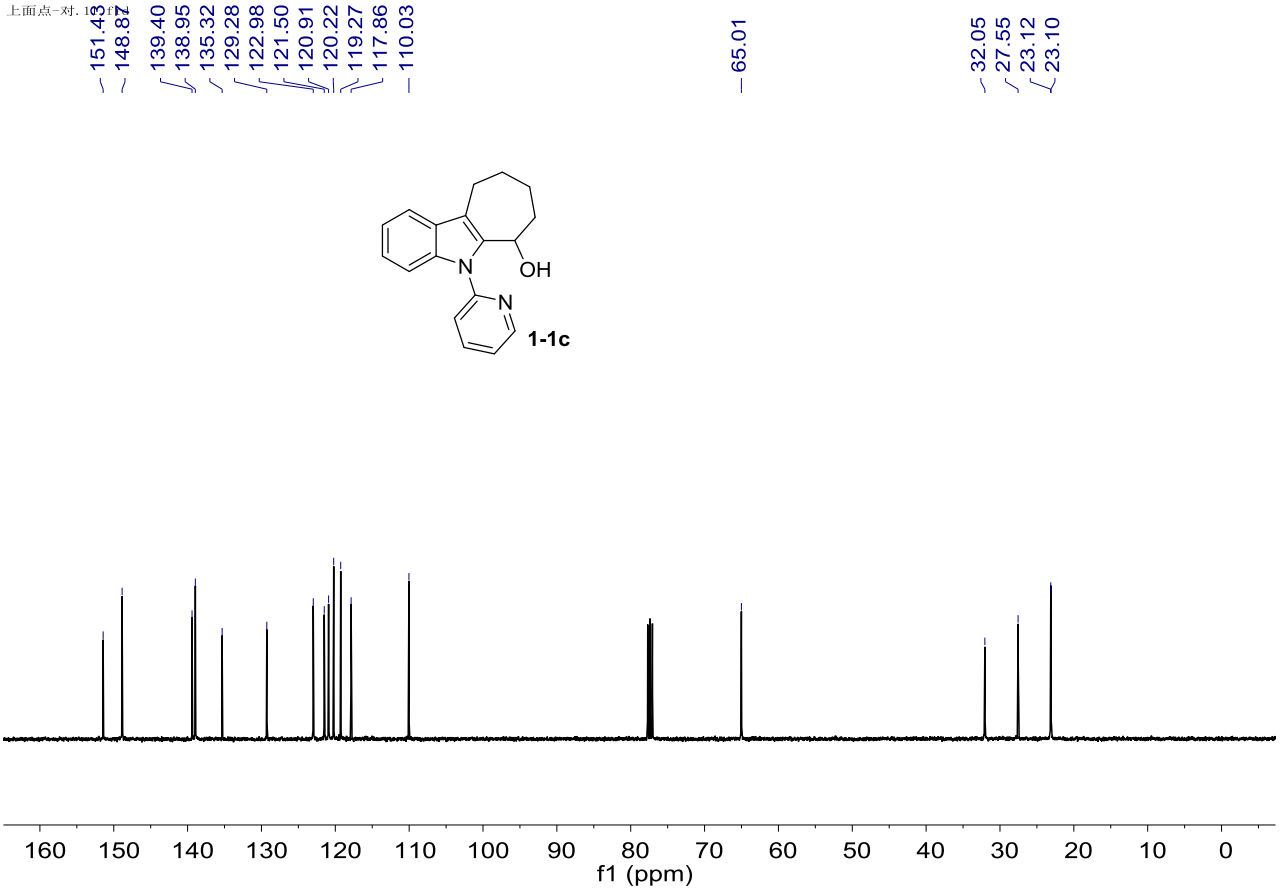
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1-1b** (using $CDCl_3$ as solvent)



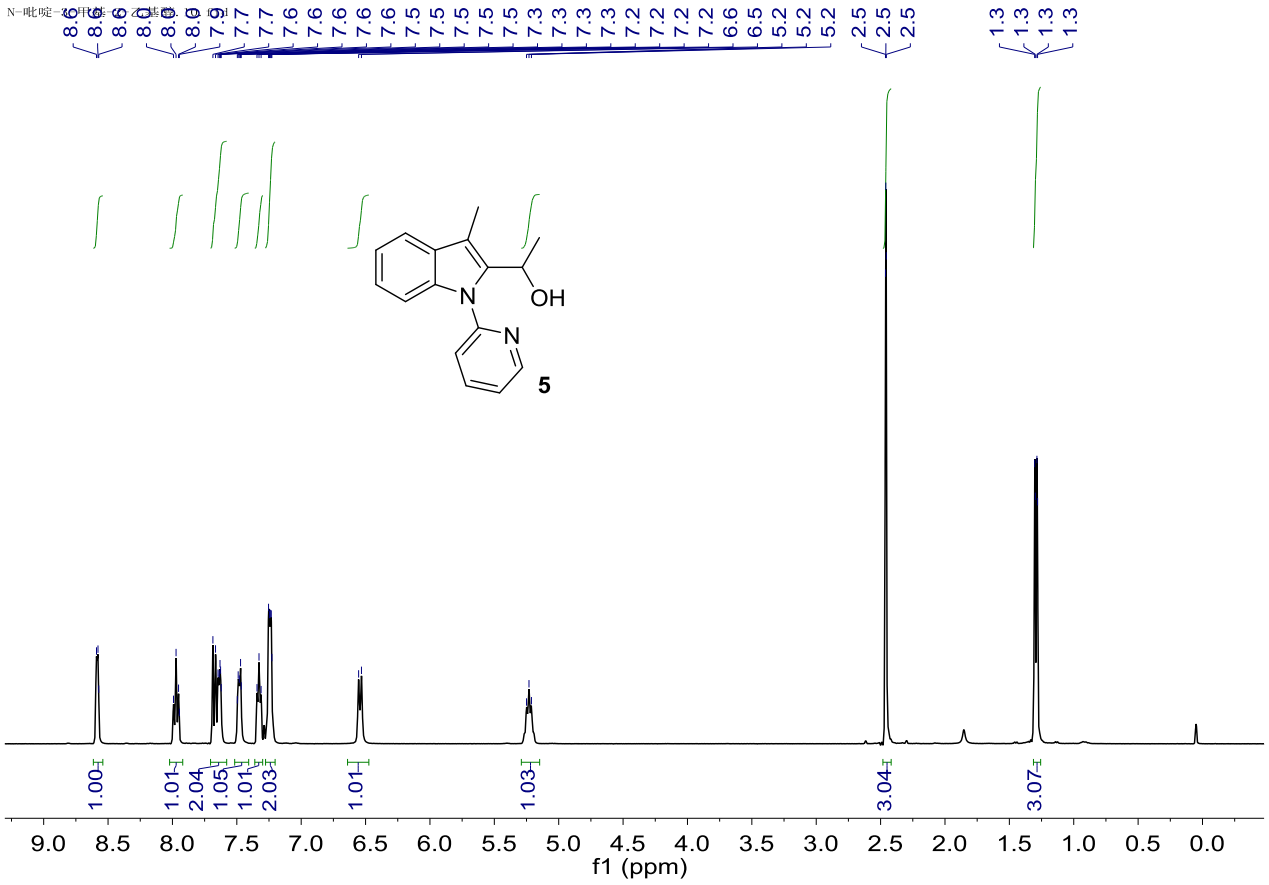


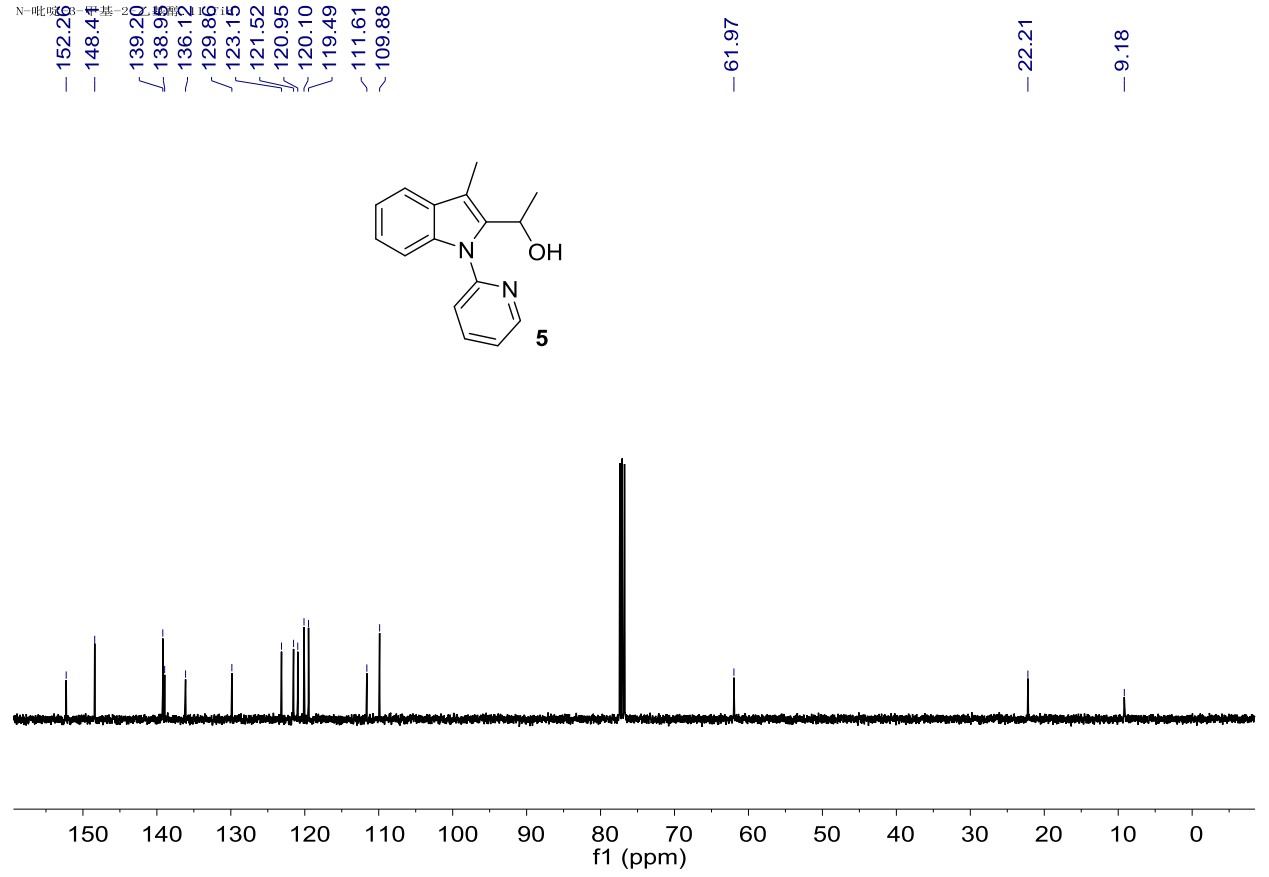
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **1-1c** (using $CDCl_3$ as solvent)



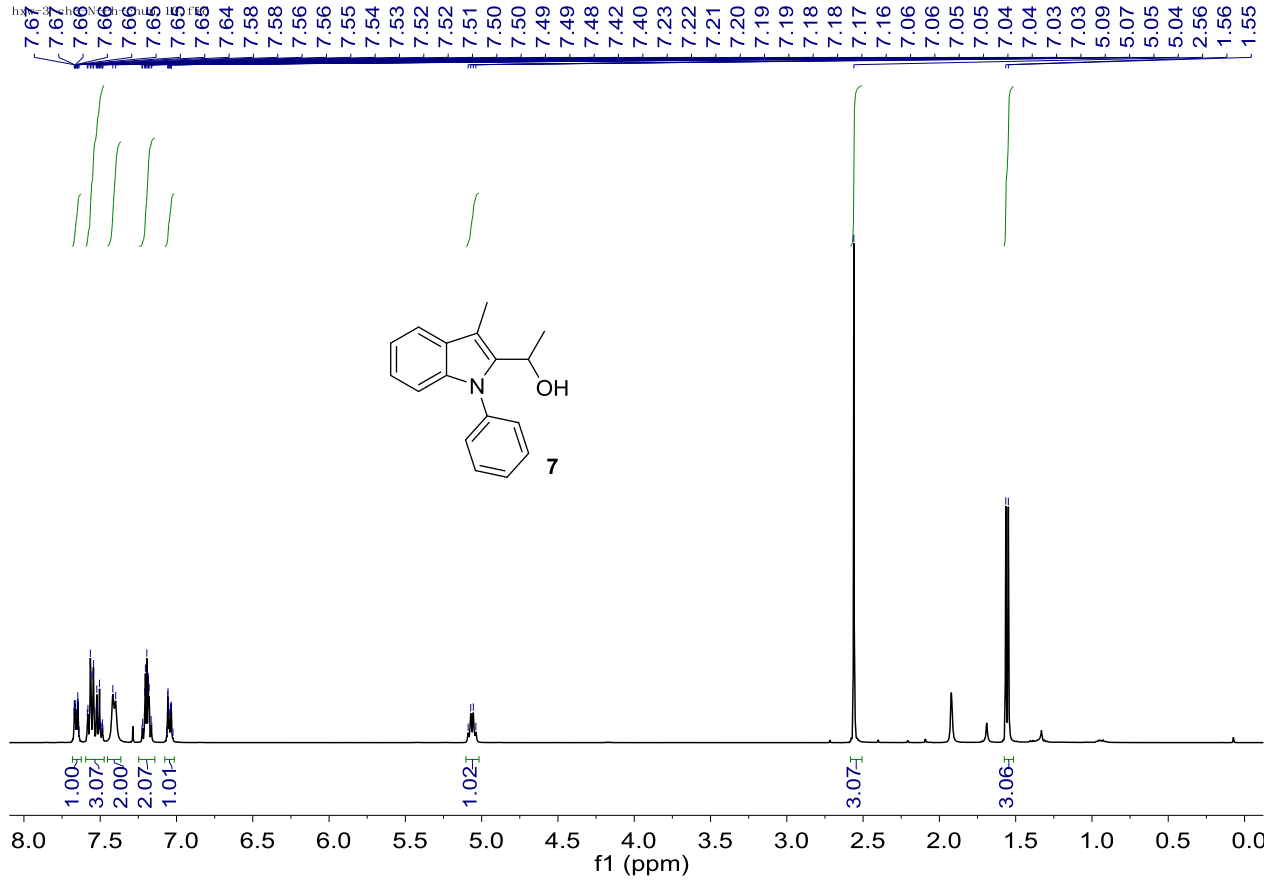


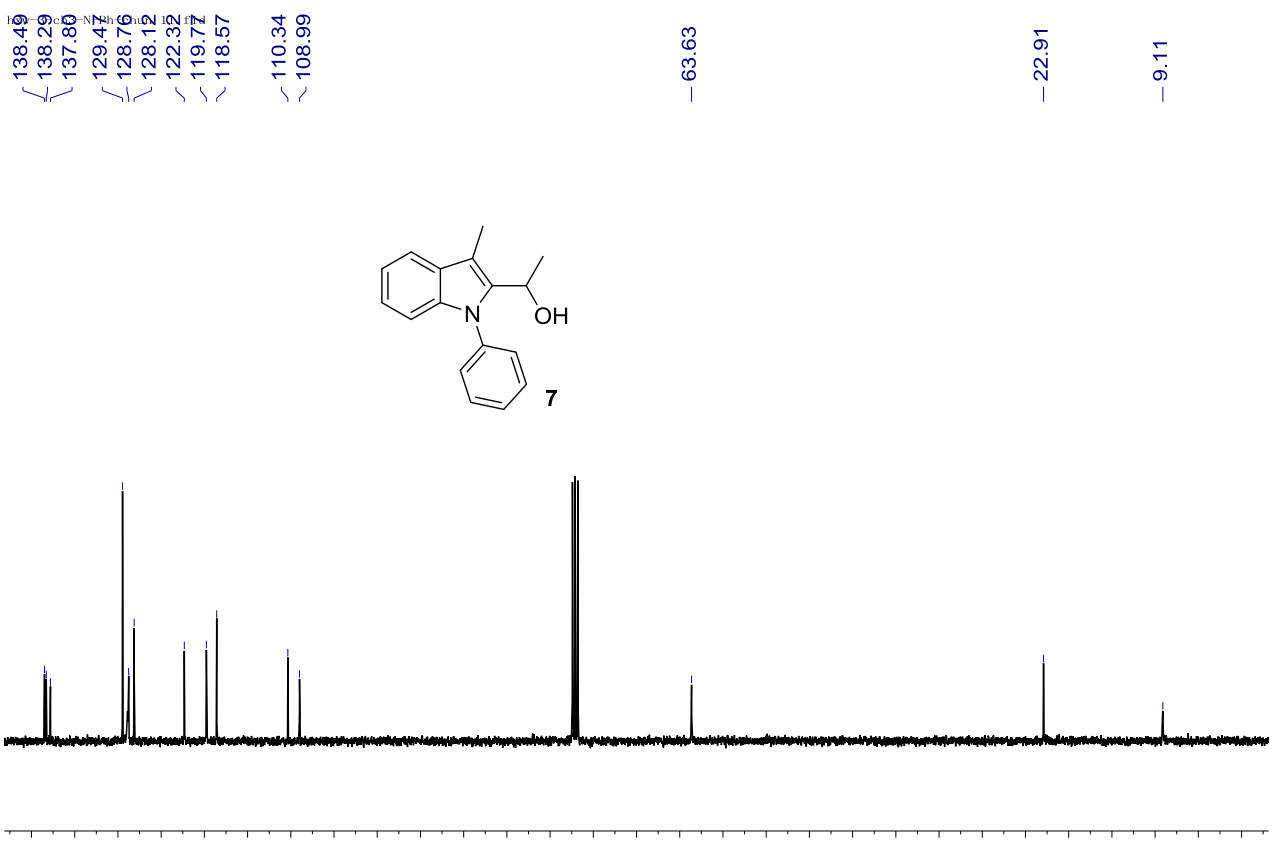
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **5** (using $CDCl_3$ as solvent)



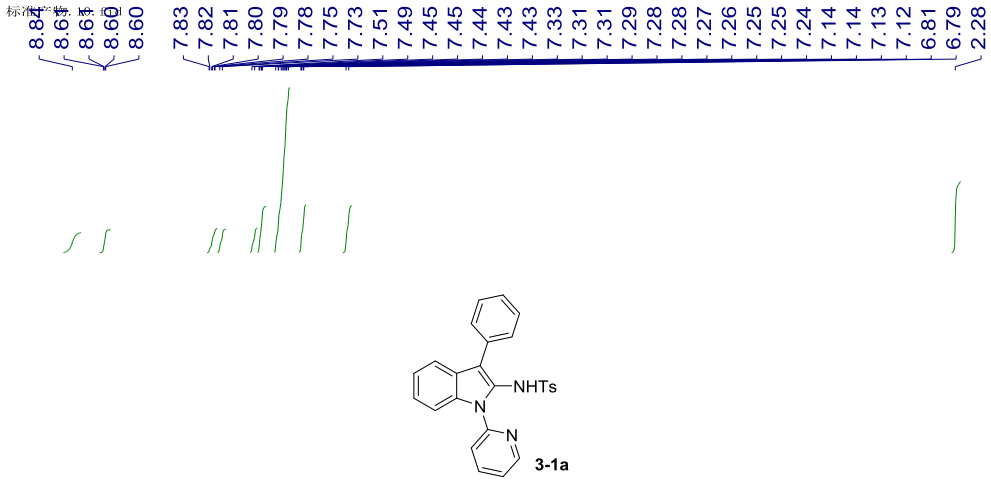


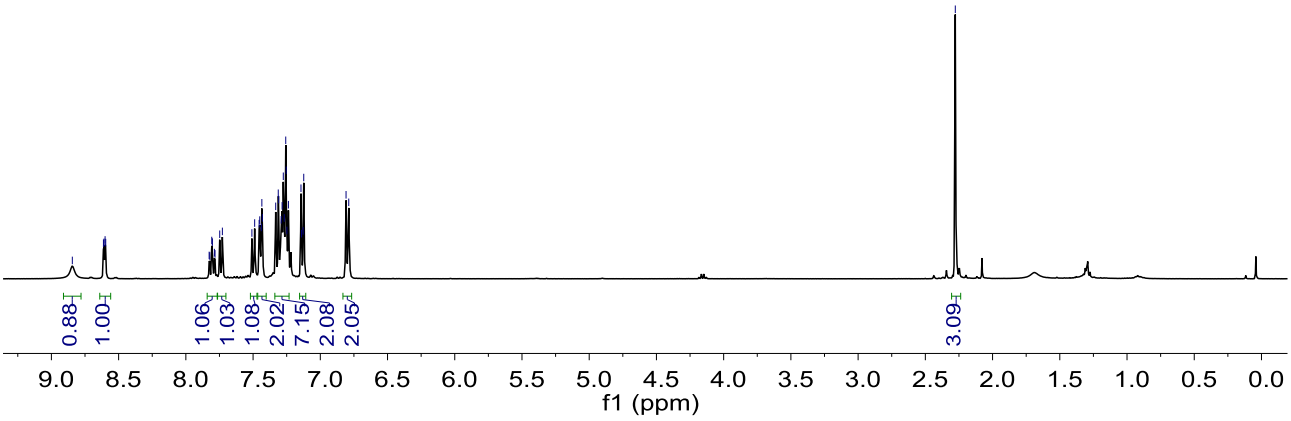
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **7** (using $CDCl_3$ as solvent)

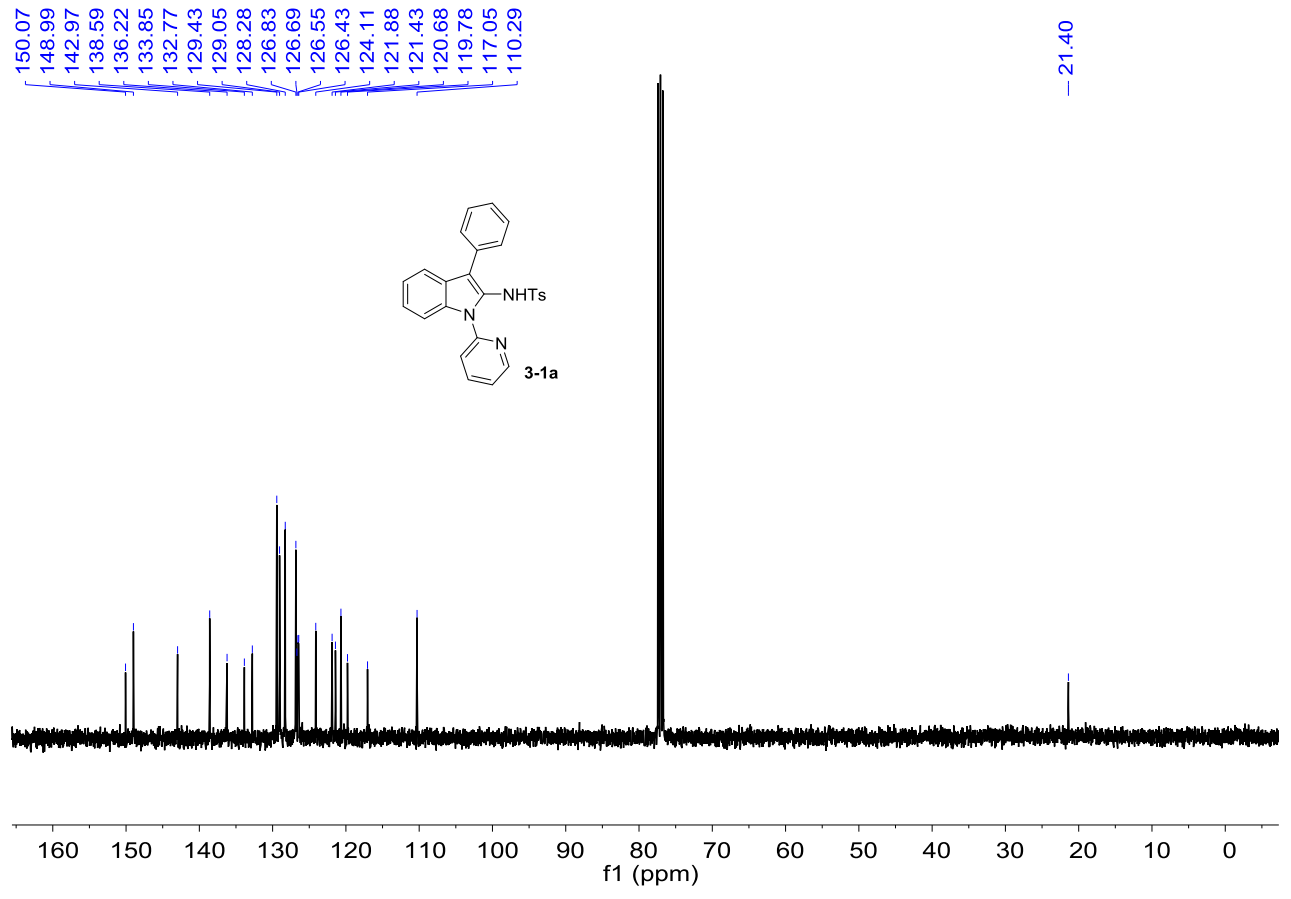




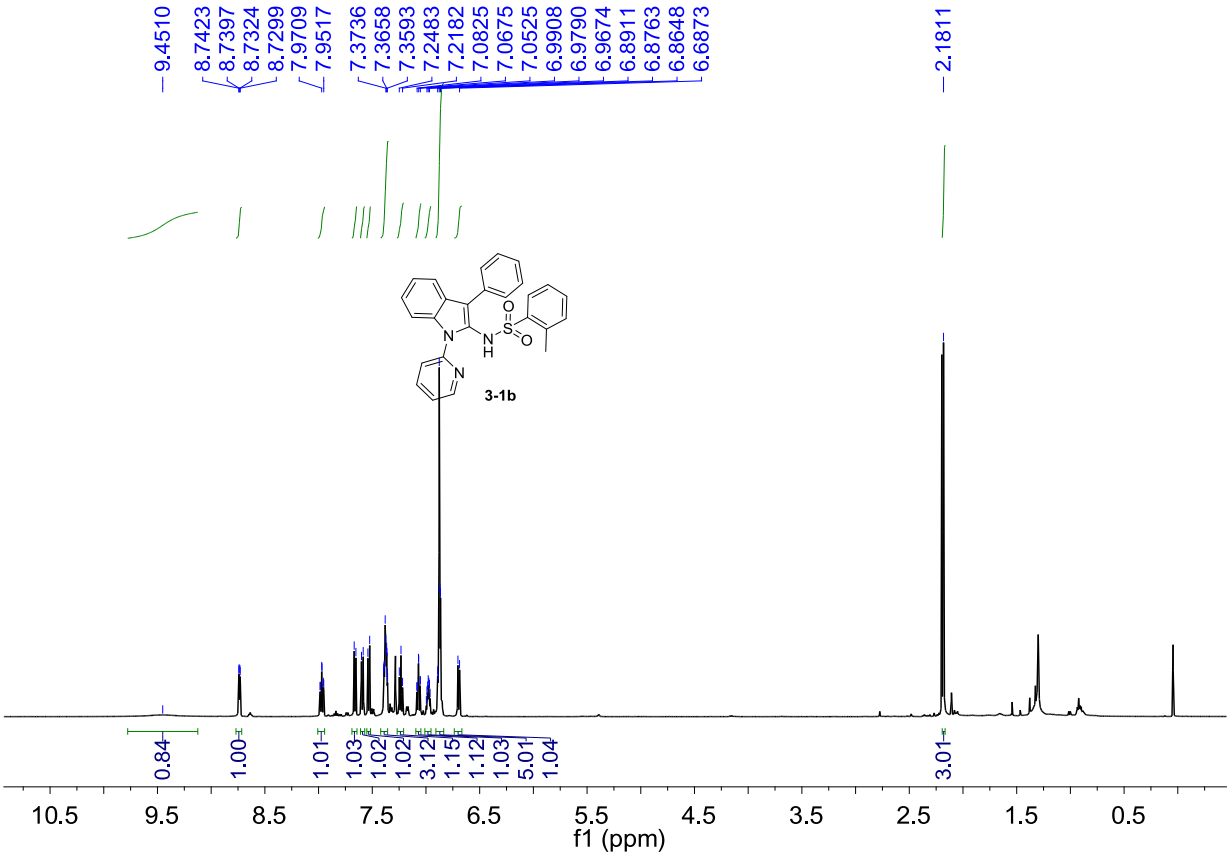
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1a** (using $CDCl_3$ as solvent)

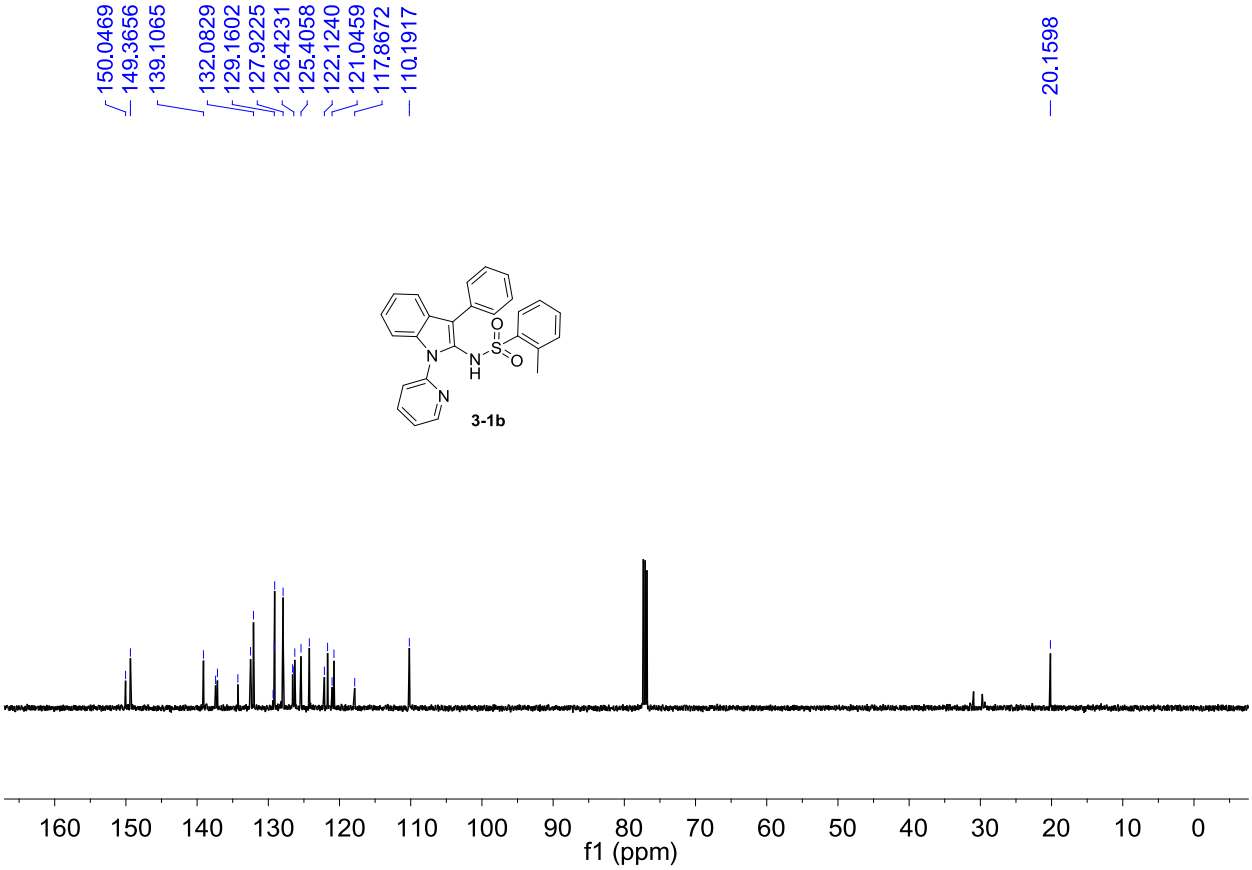




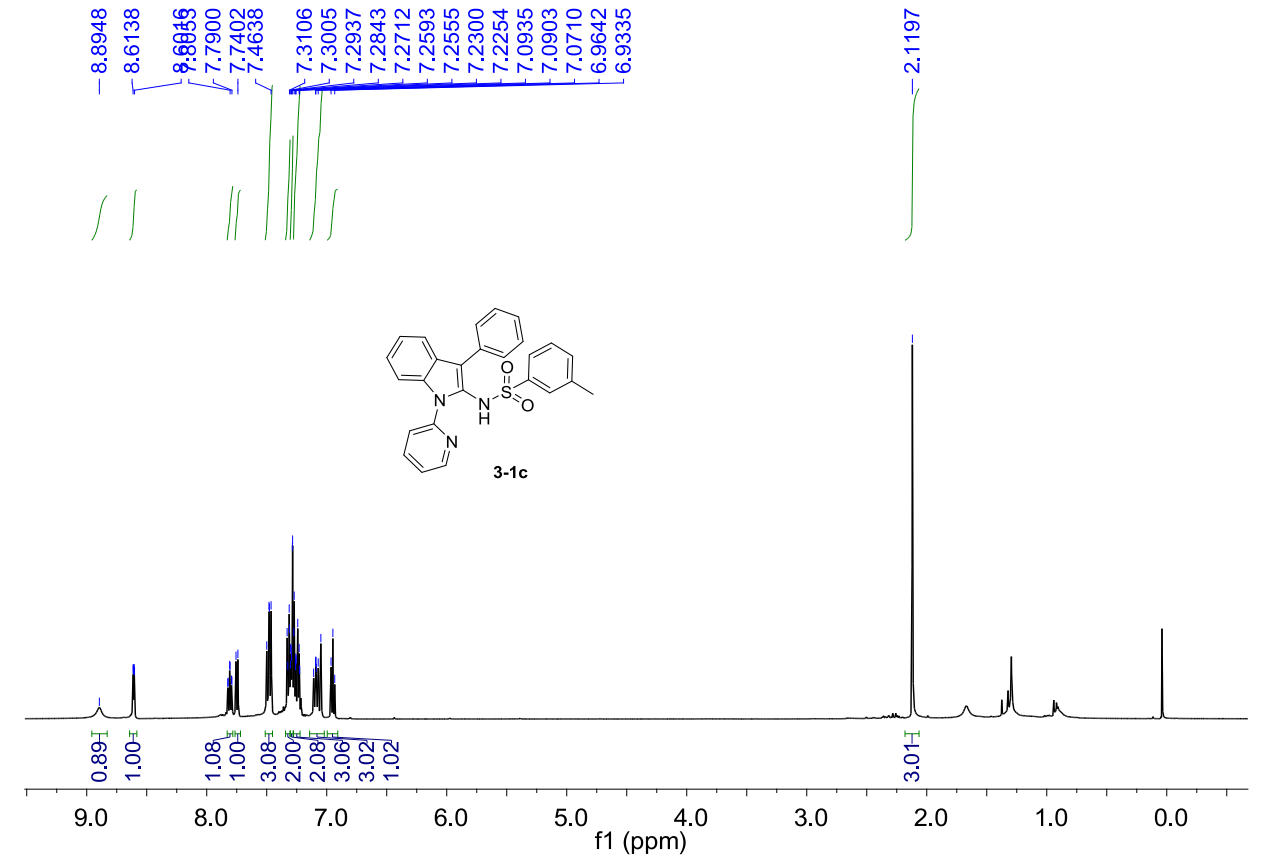


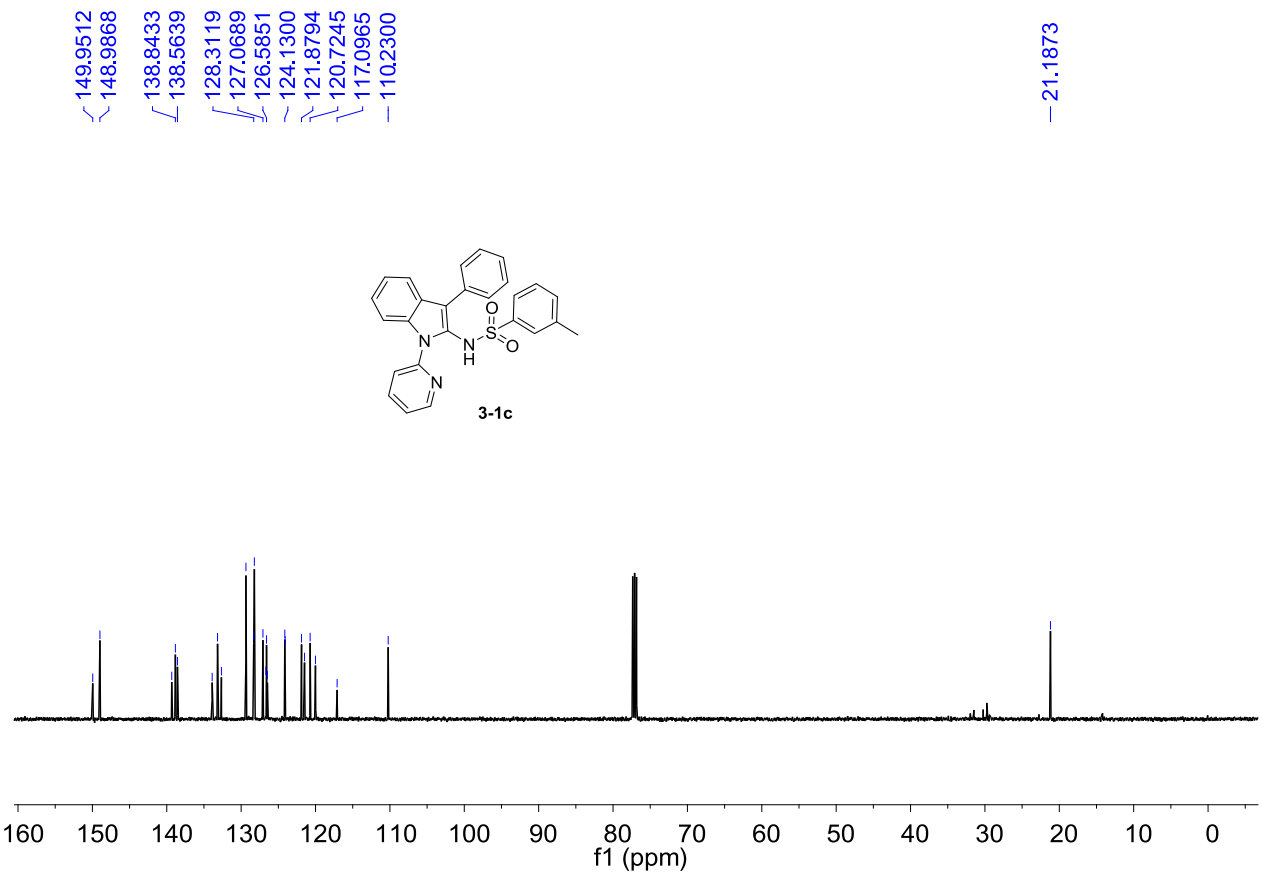
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1b** (using $CDCl_3$ as solvent)



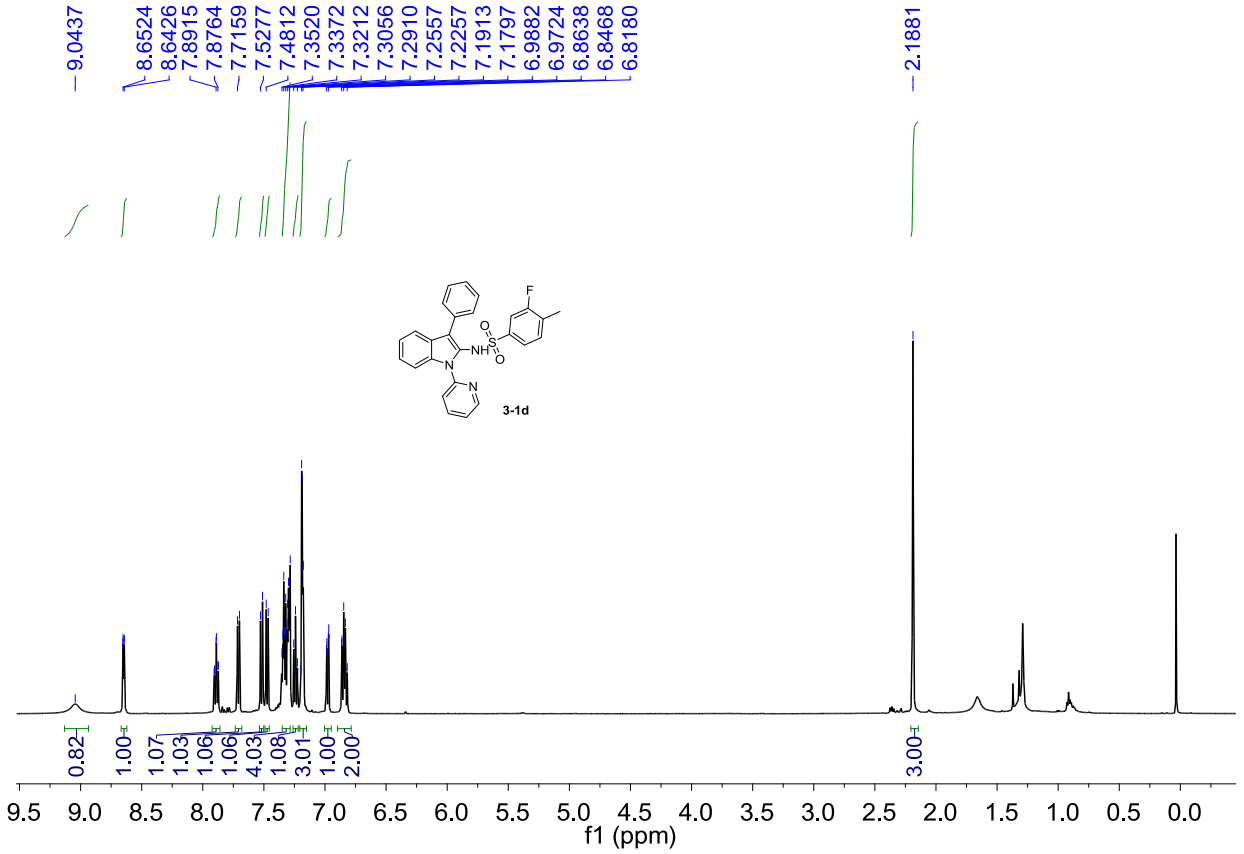


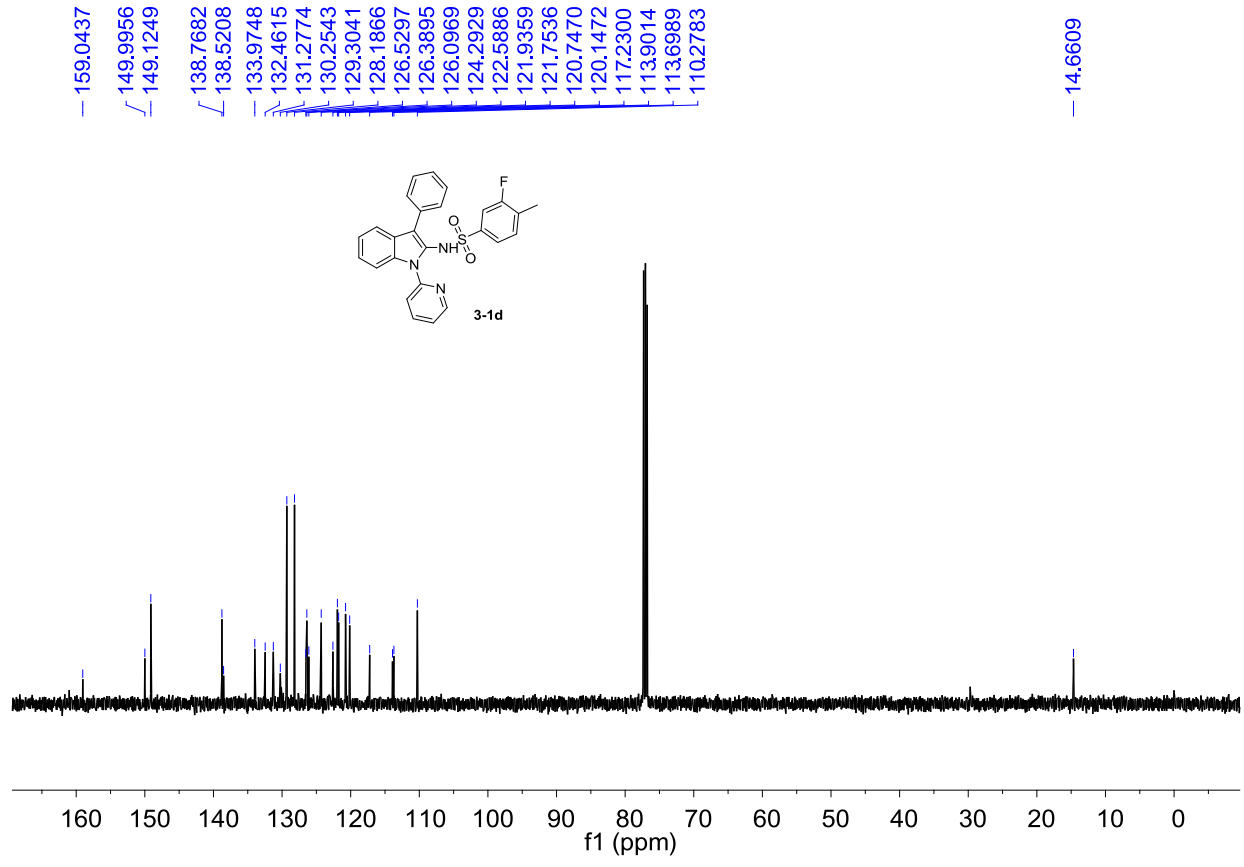
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1c** (using $CDCl_3$ as solvent)



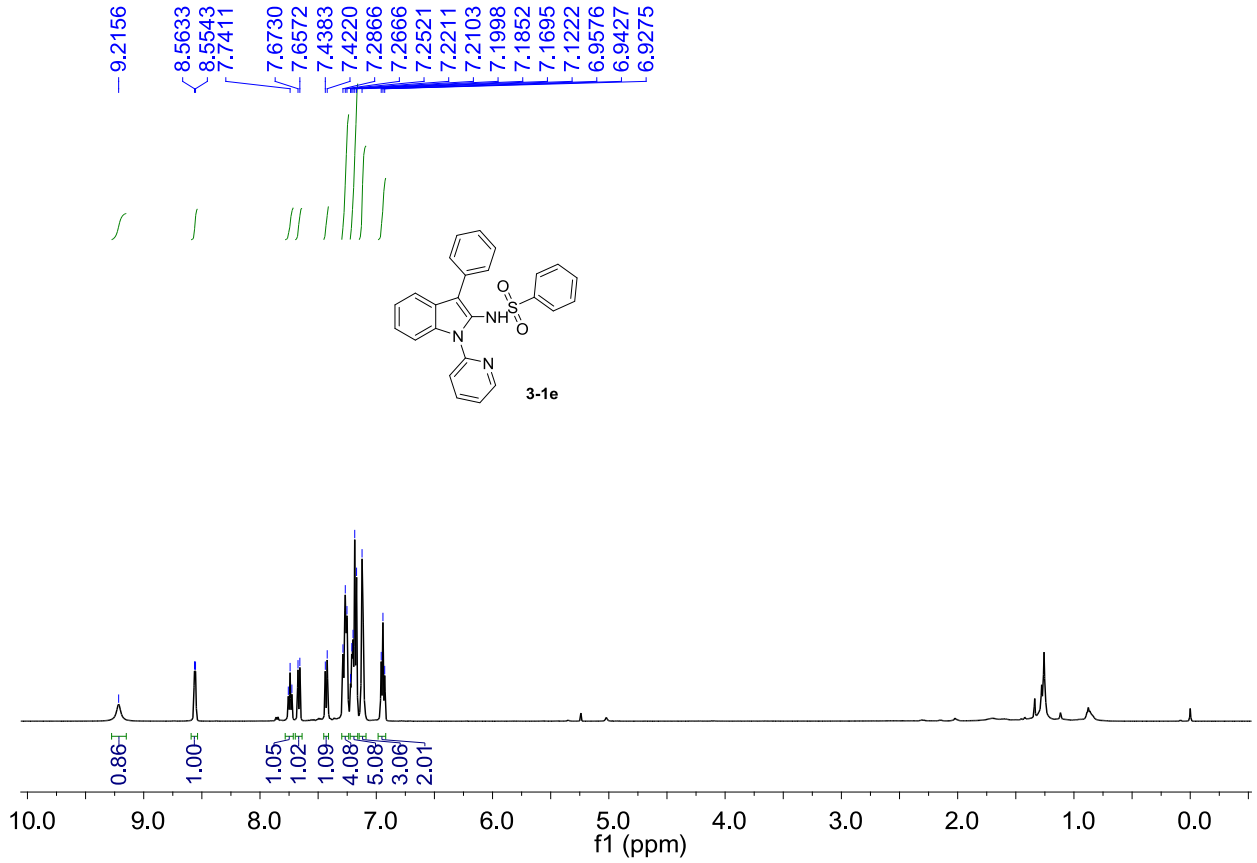


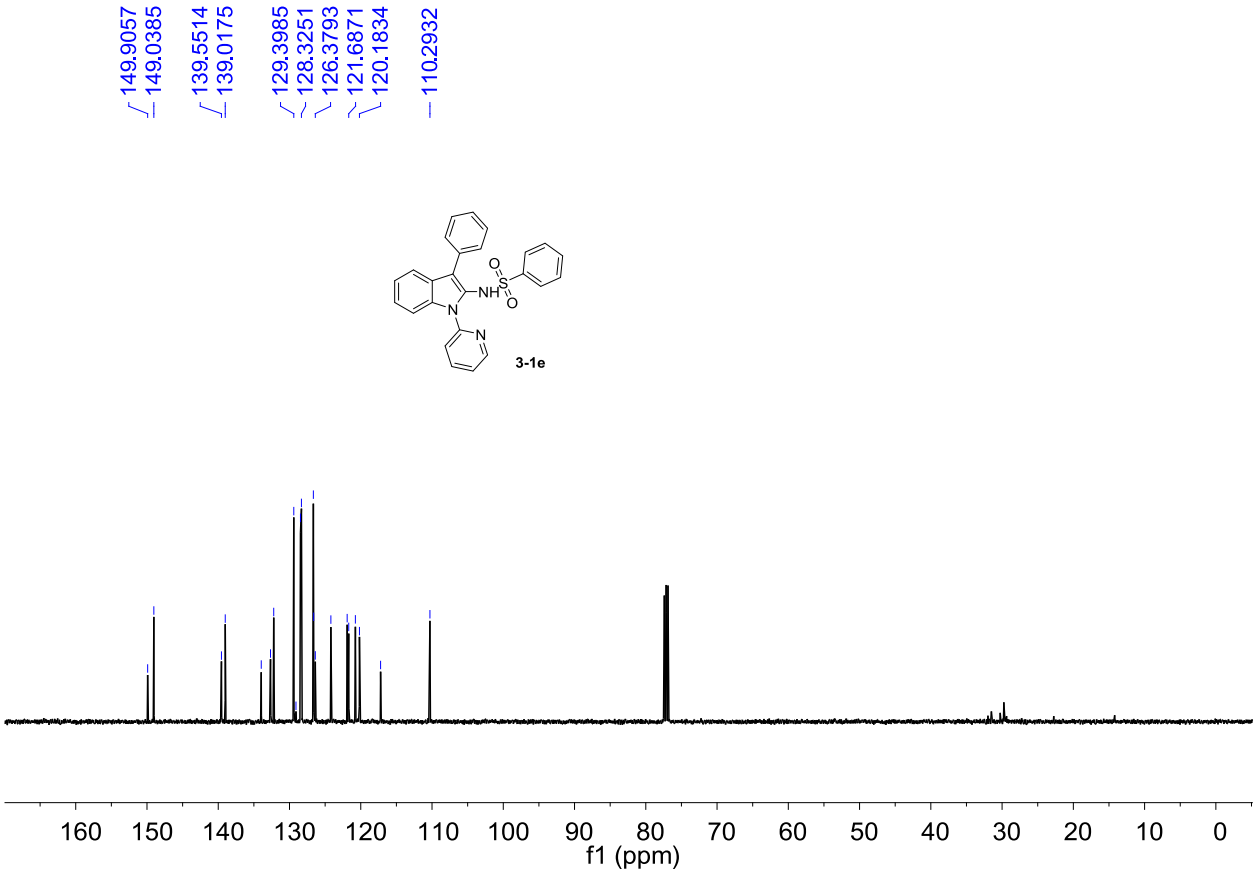
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1d** (using $CDCl_3$ as solvent)



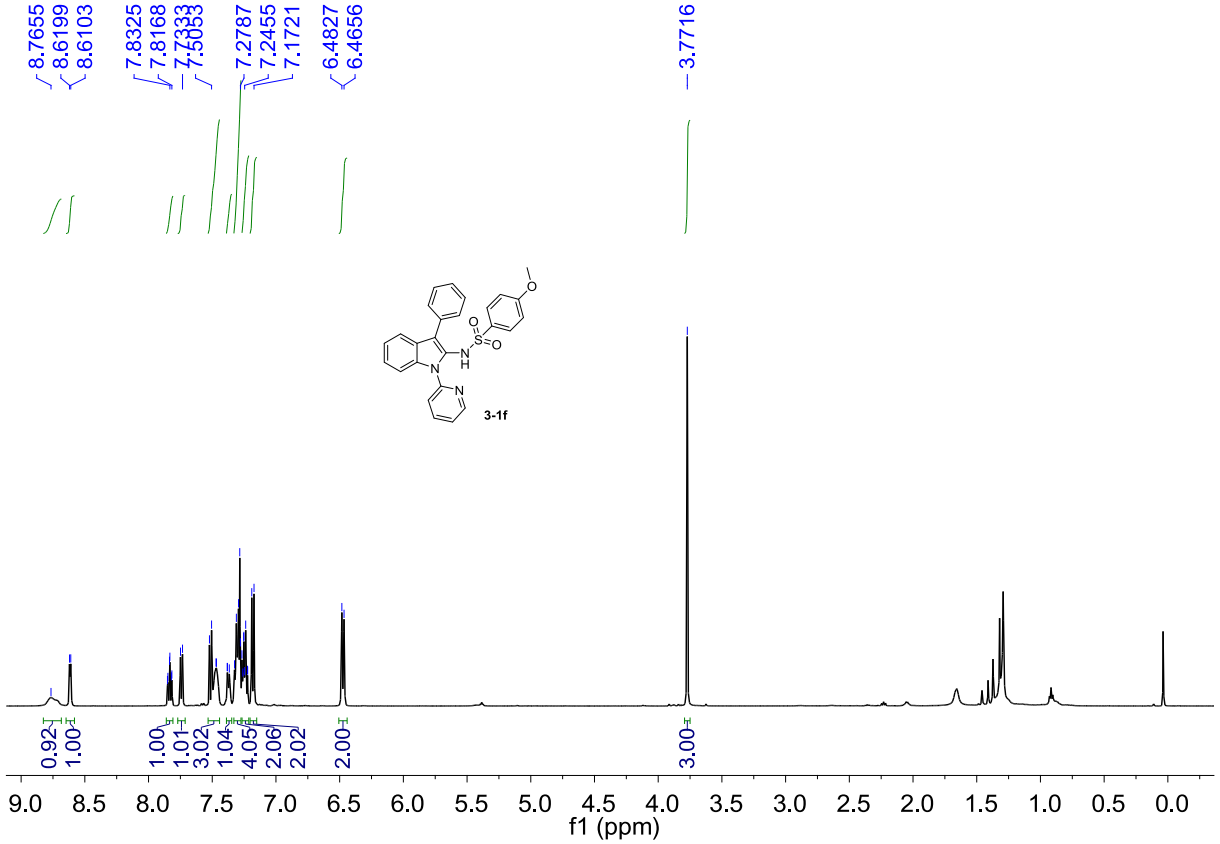


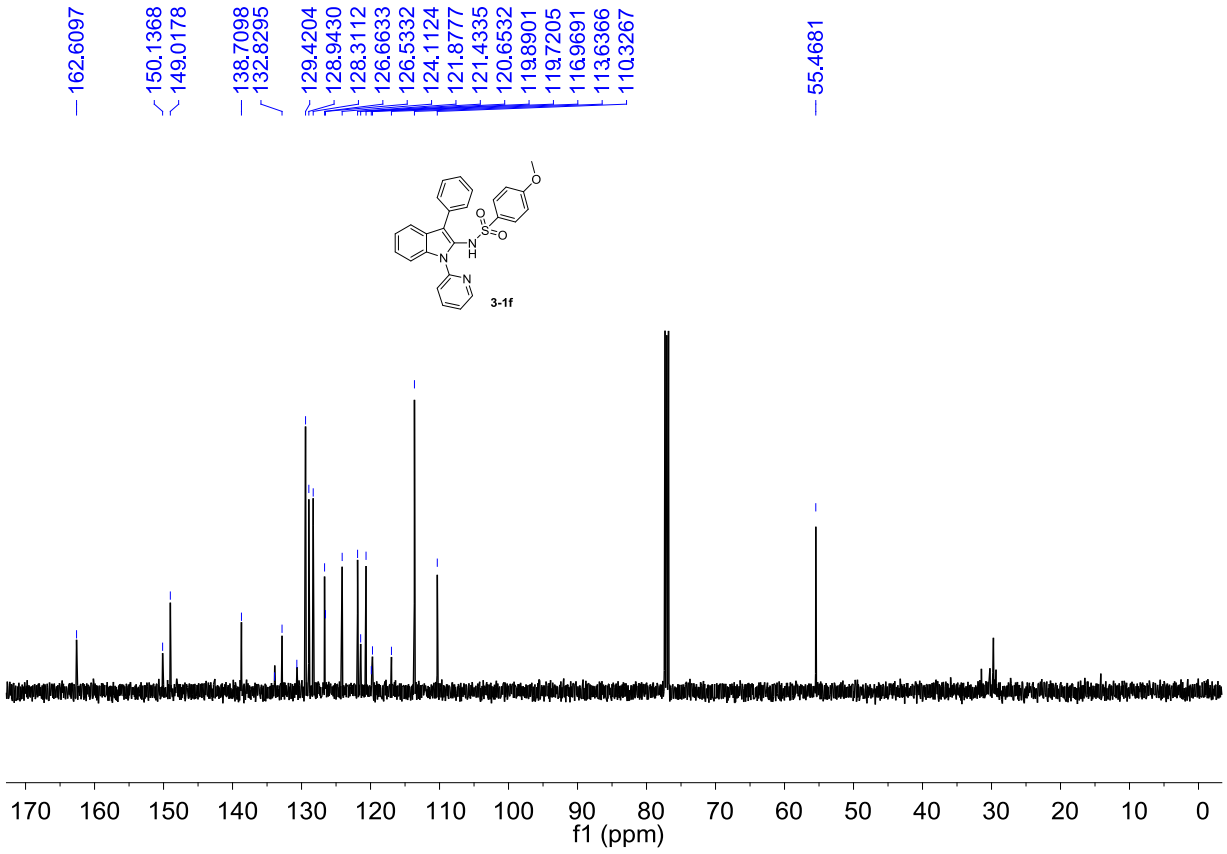
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1e** (using $CDCl_3$ as solvent)



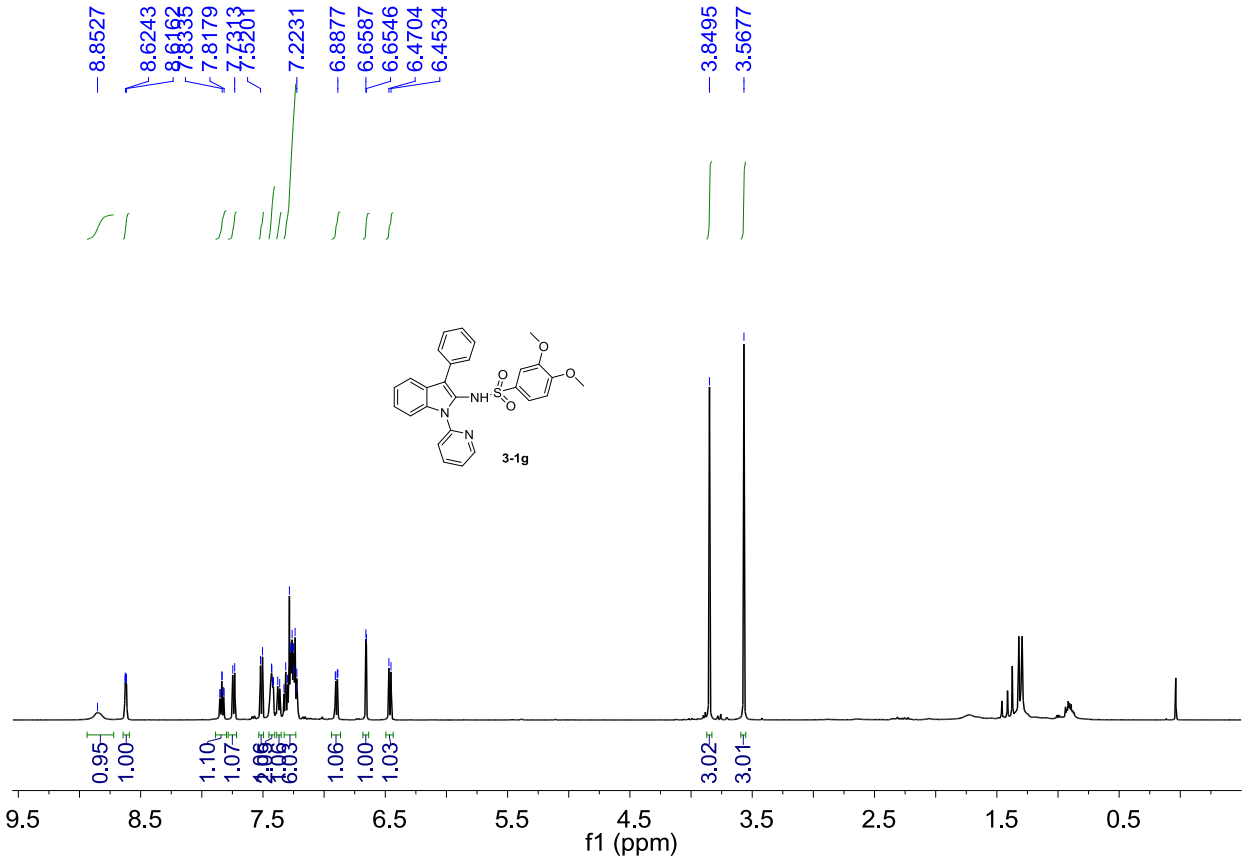


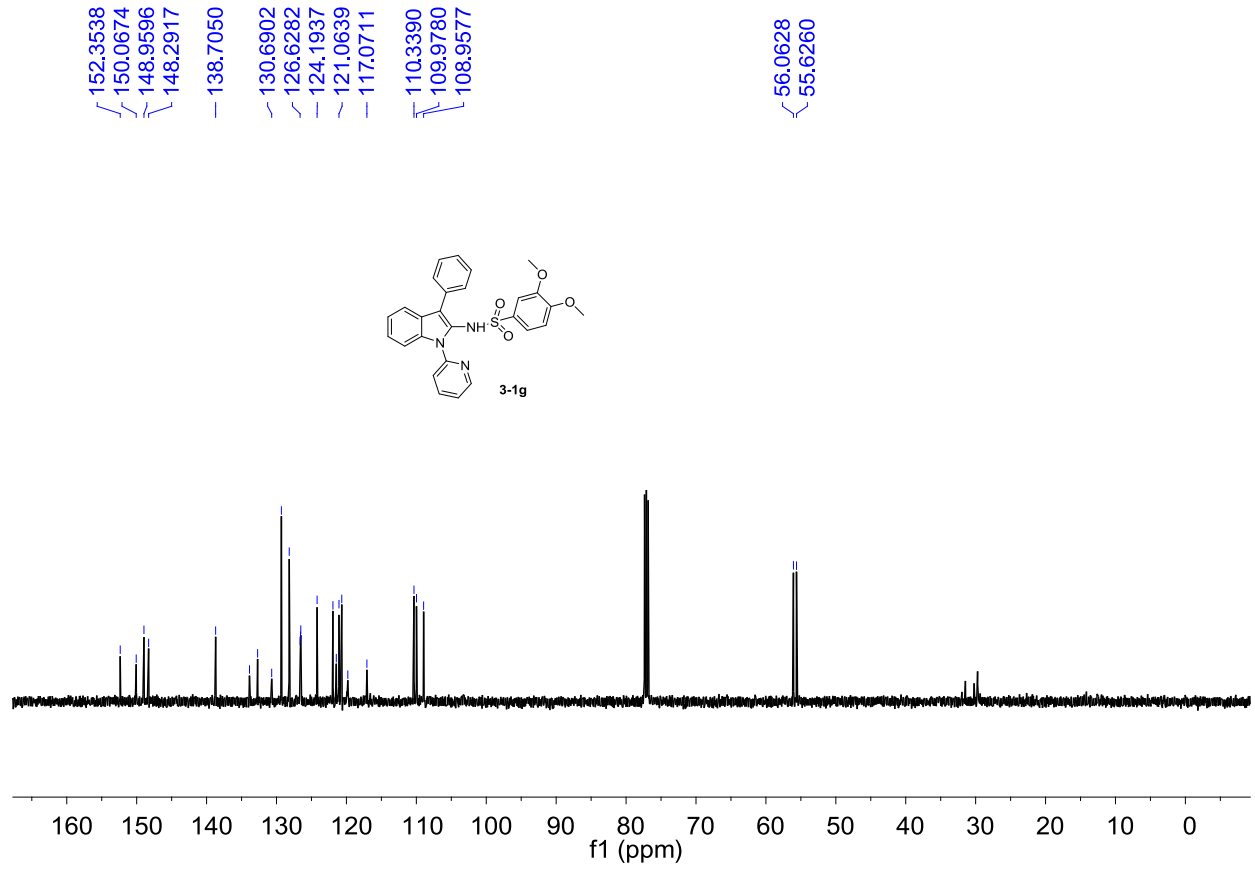
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1f** (using $CDCl_3$ as solvent)



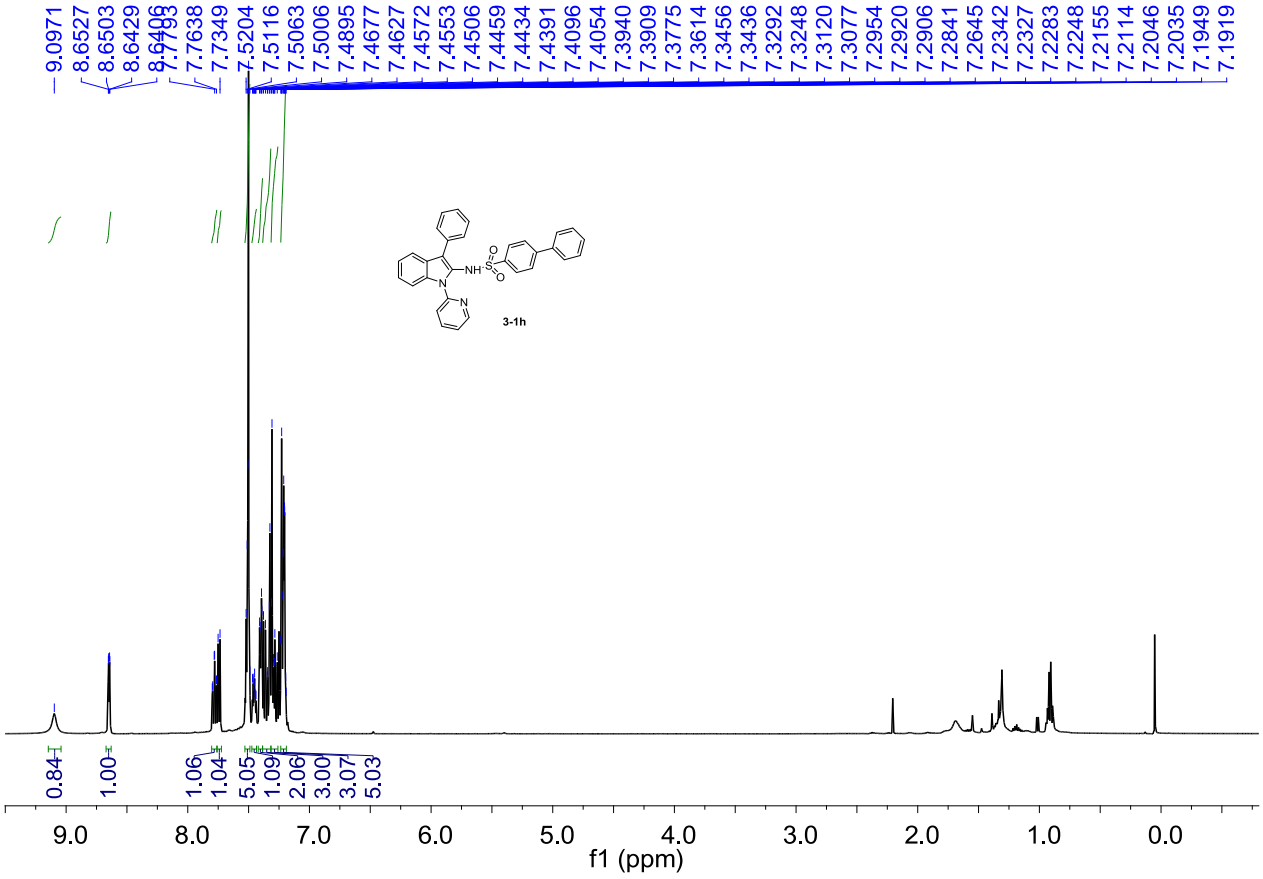


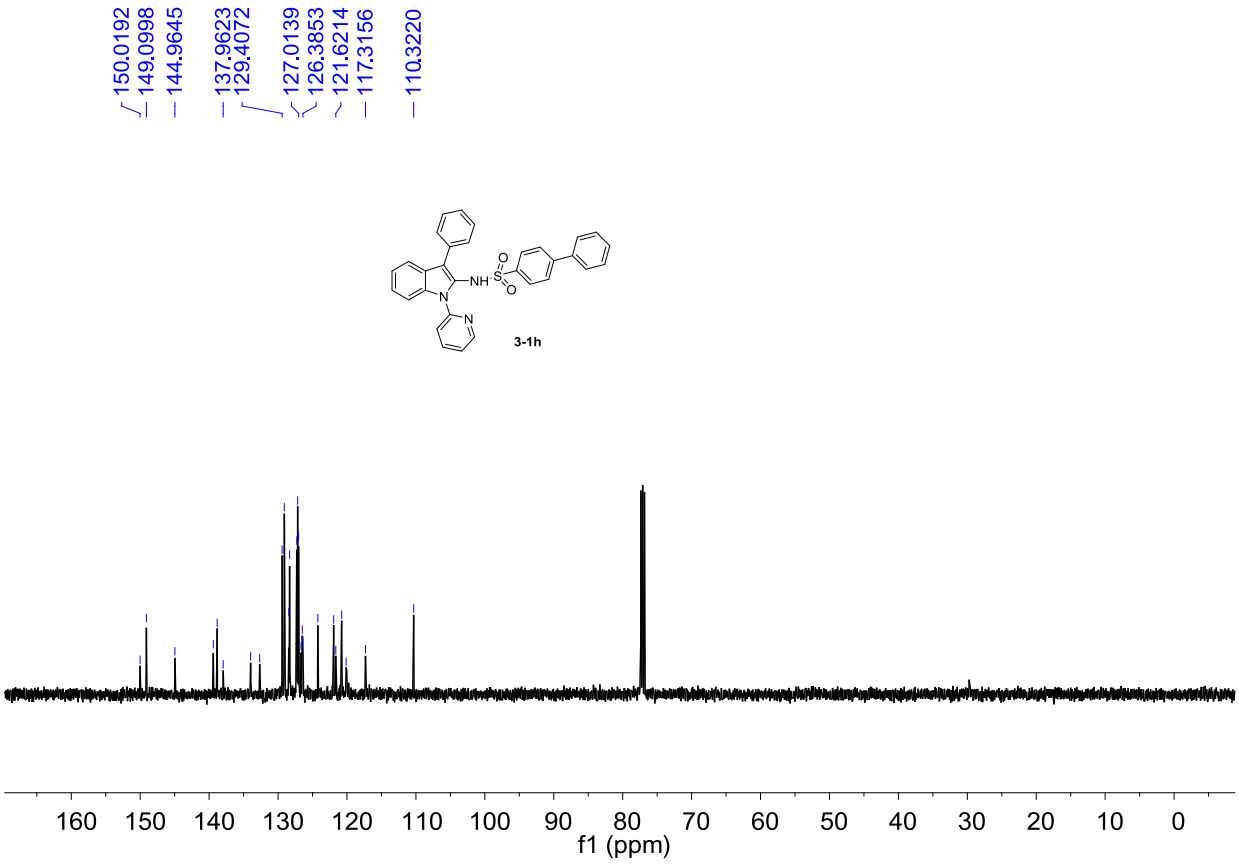
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1g** (using $CDCl_3$ as solvent)



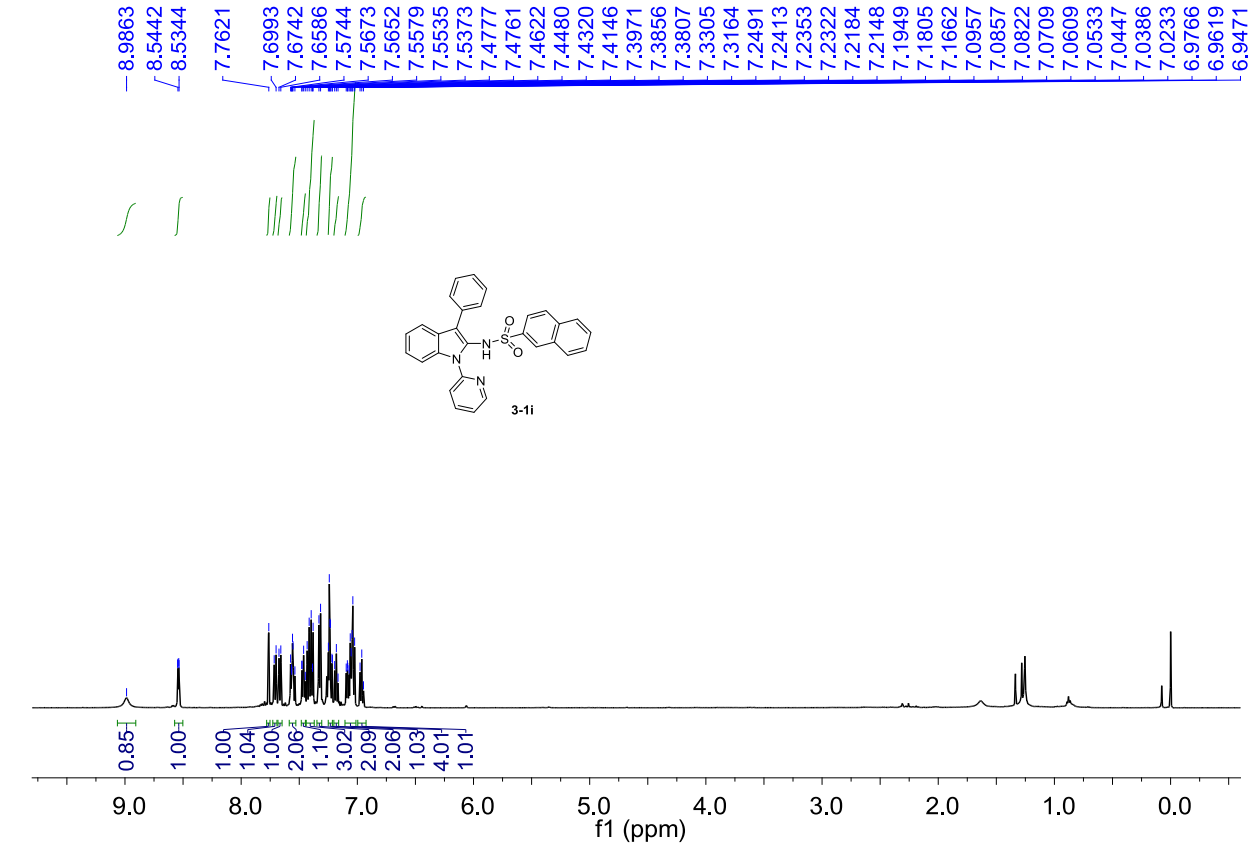


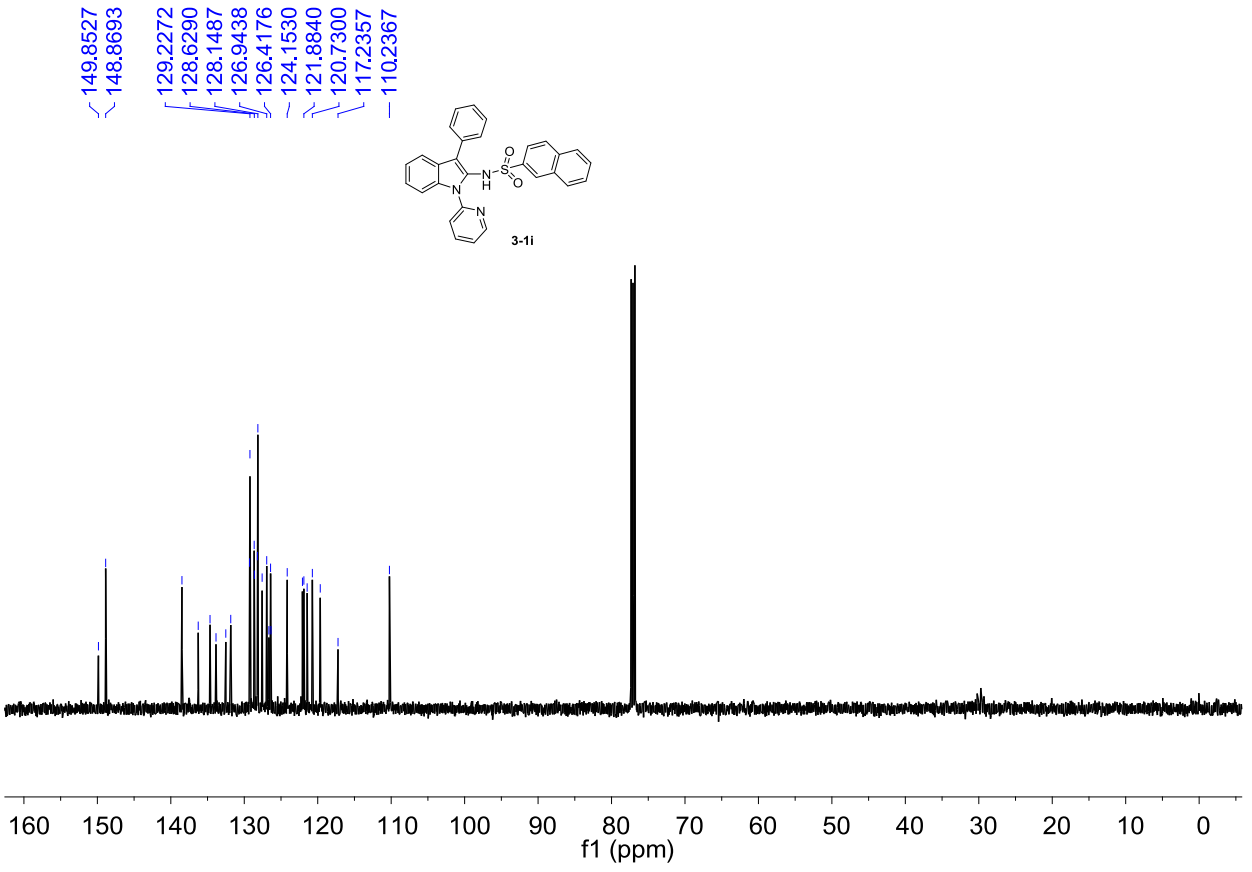
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1h** (using $CDCl_3$ as solvent)



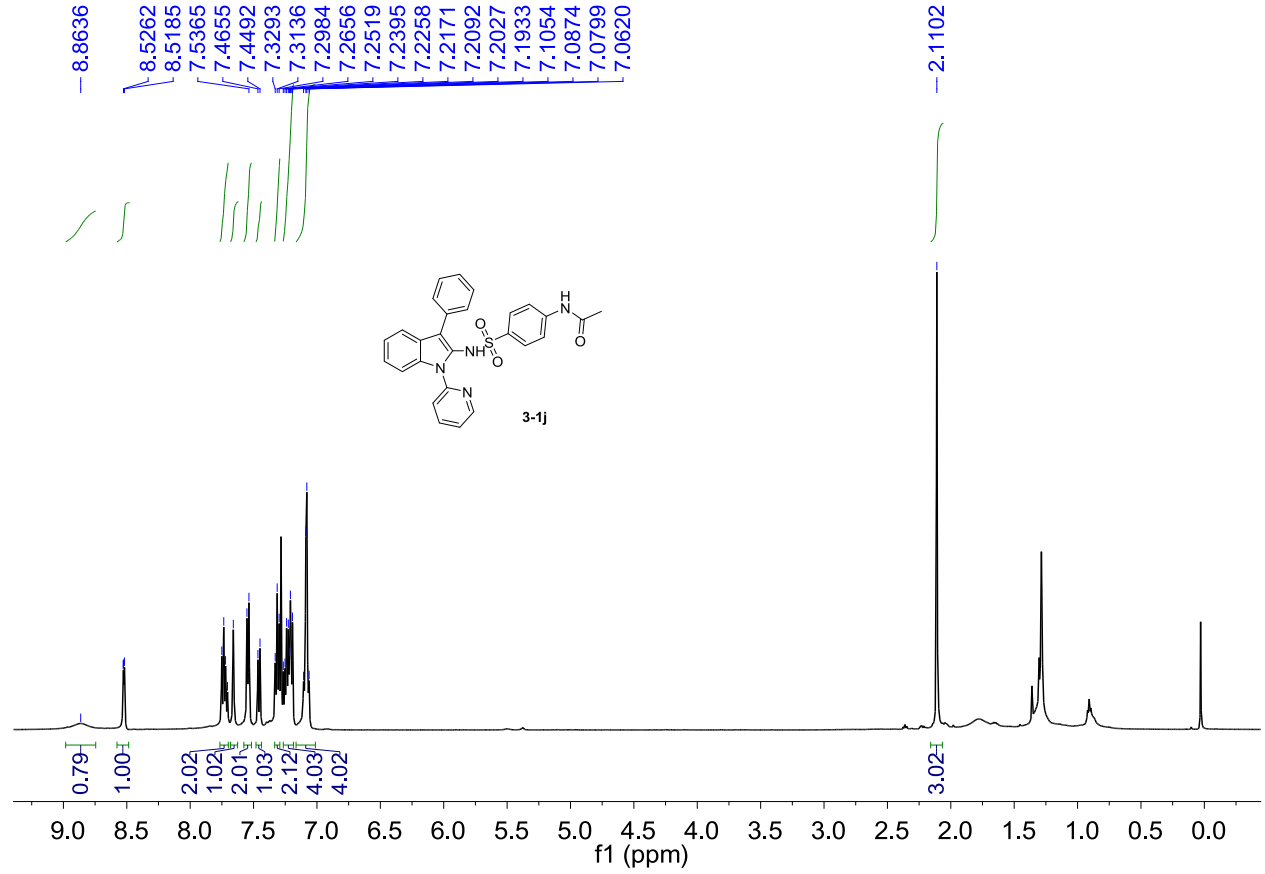


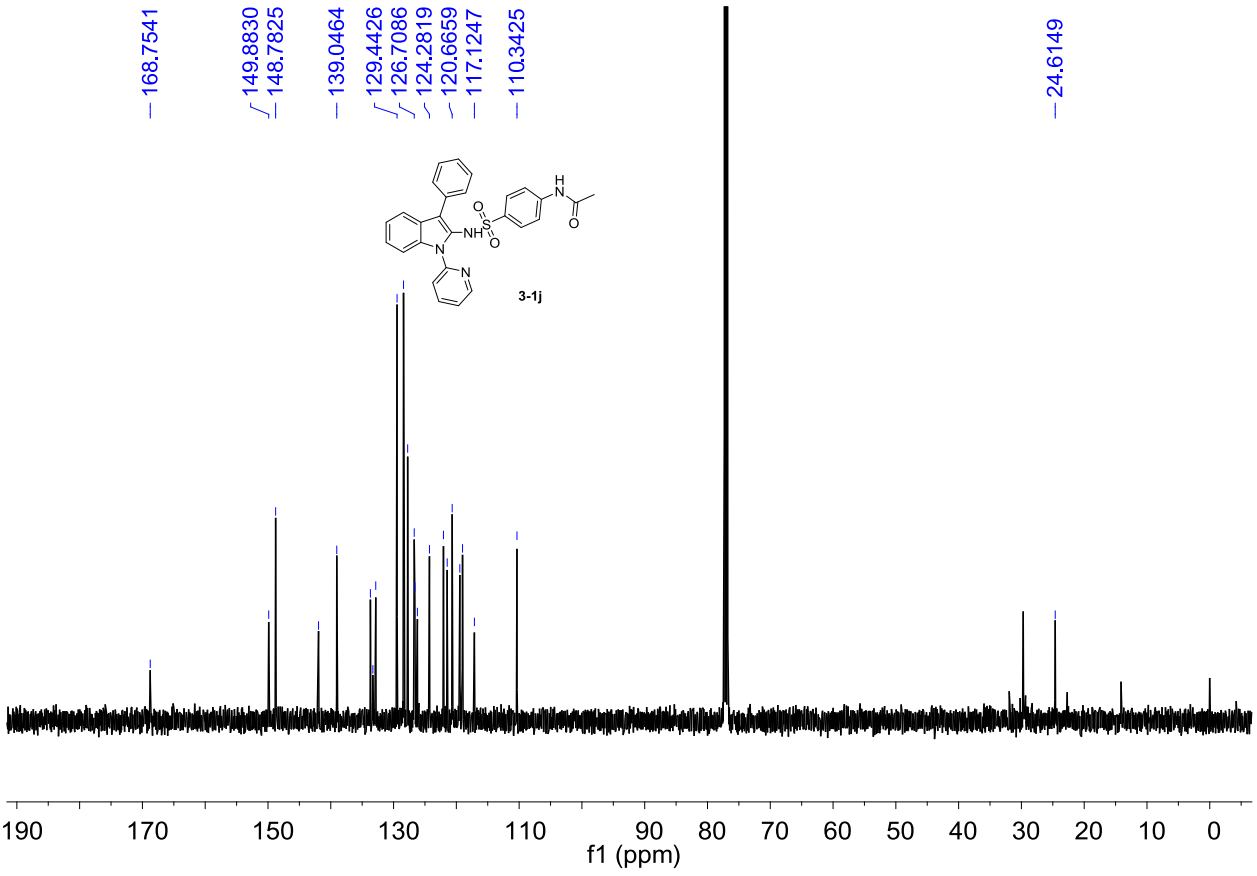
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1i** (using $CDCl_3$ as solvent)



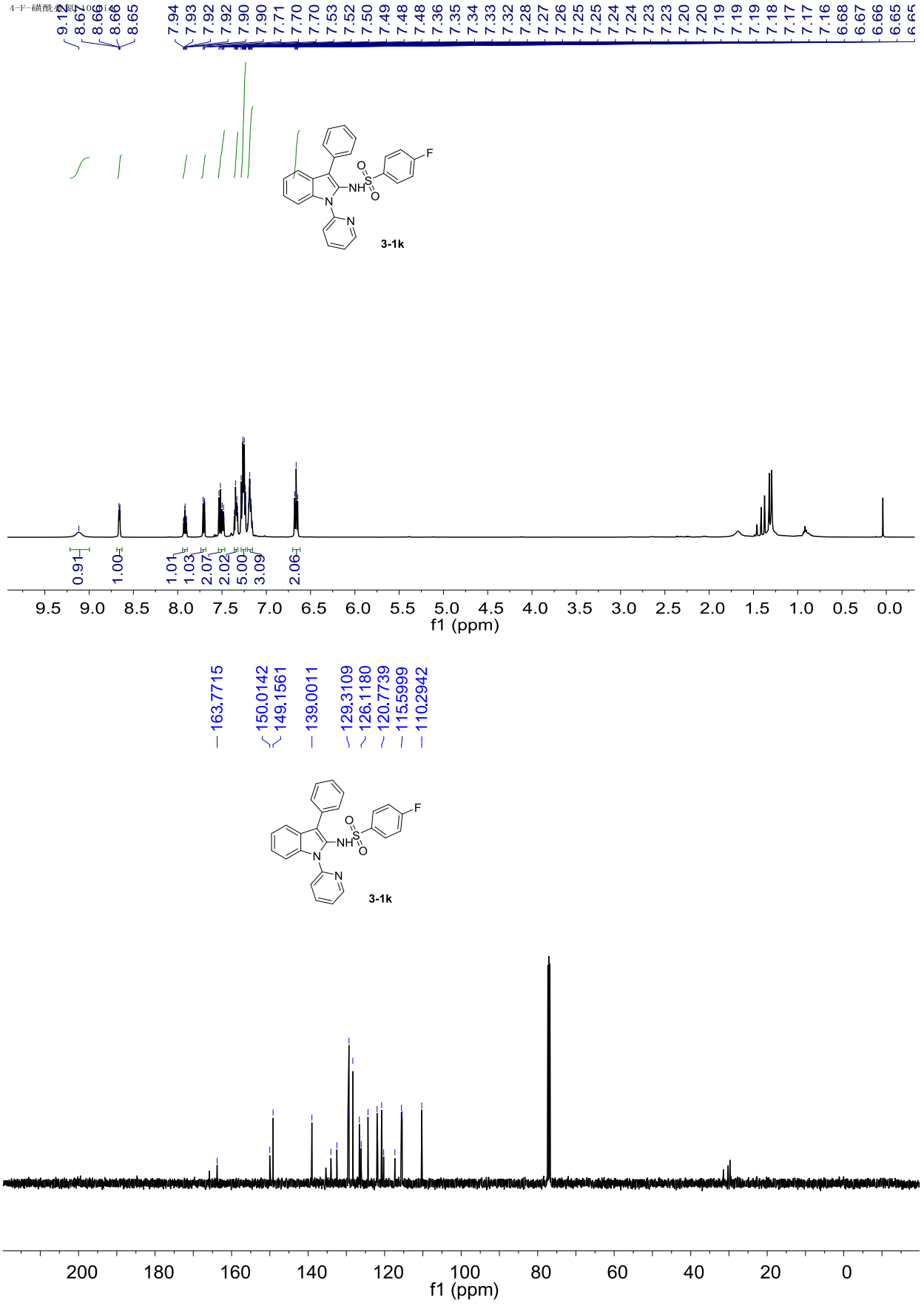


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1j** (using $CDCl_3$ as solvent)

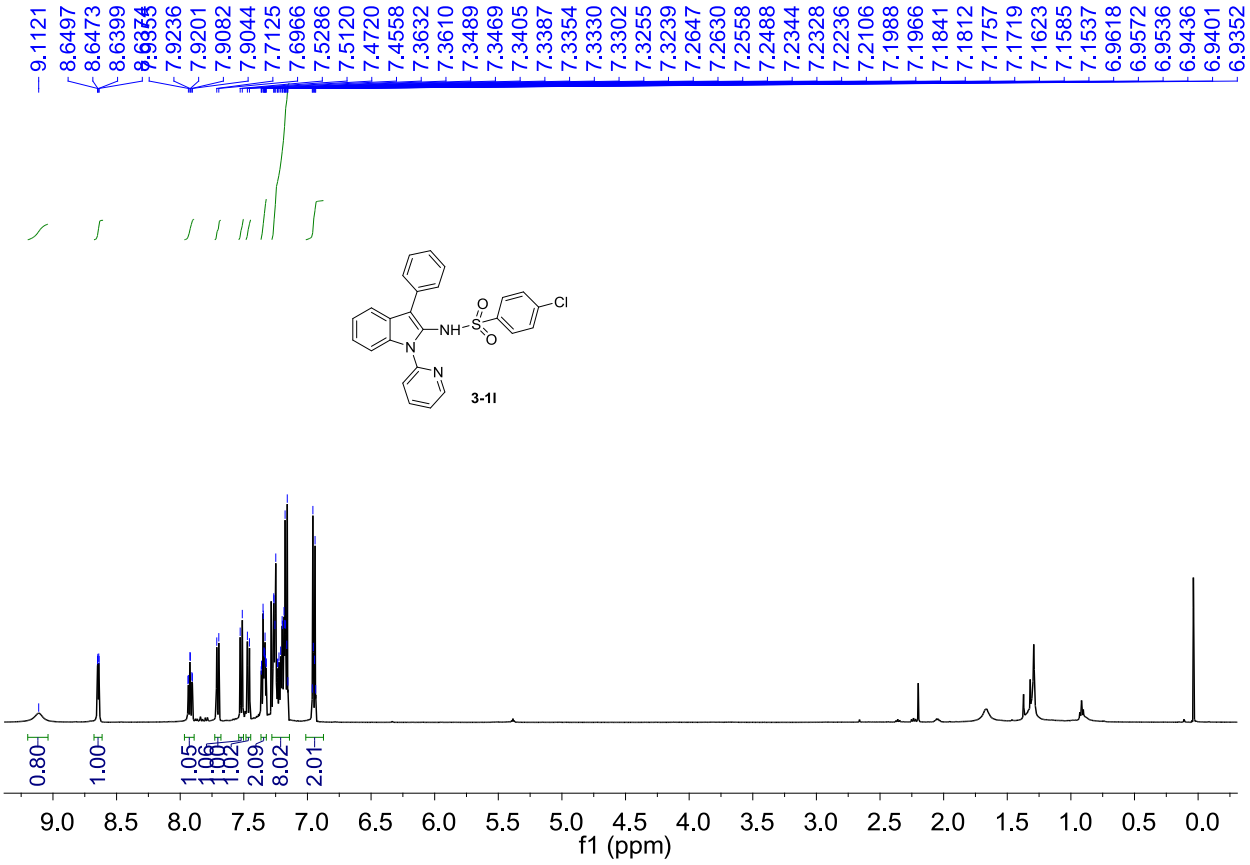


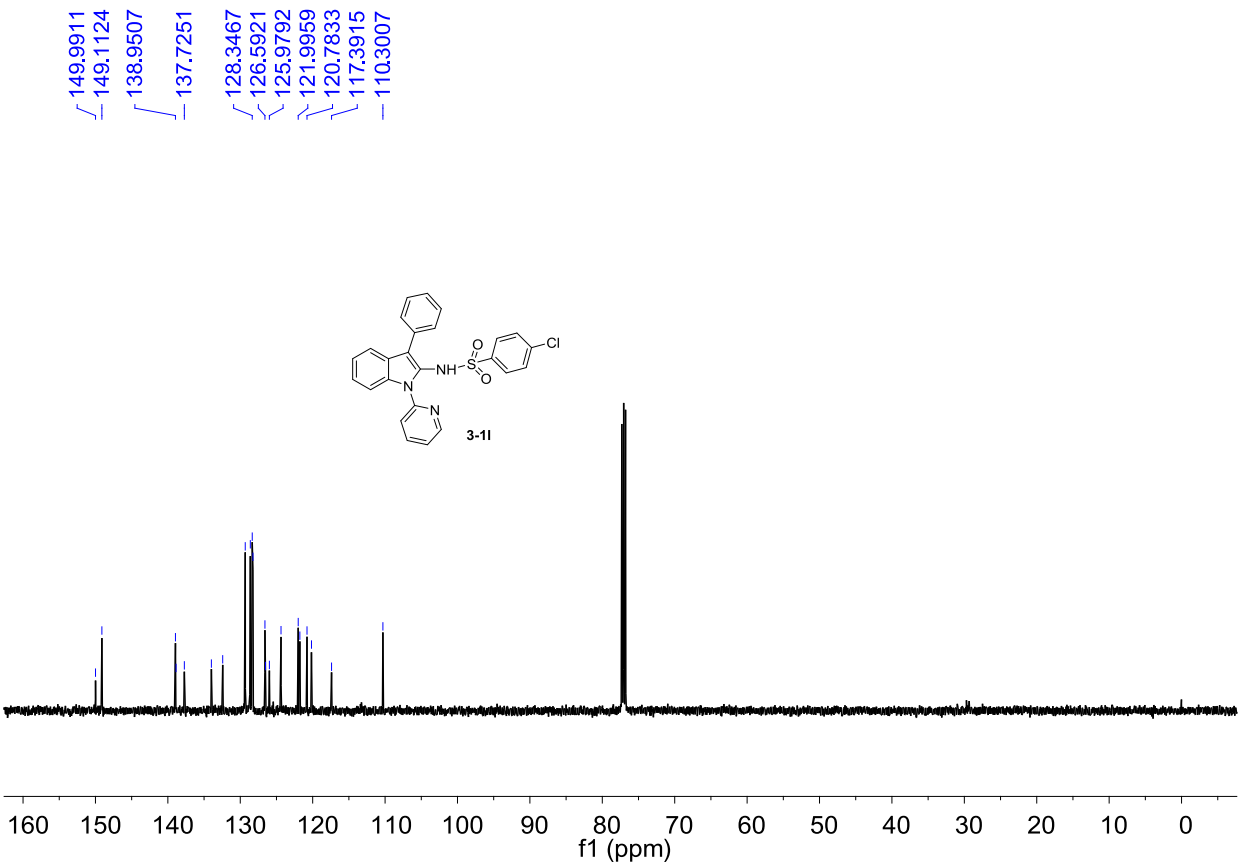


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1k** (using $CDCl_3$ as solvent)

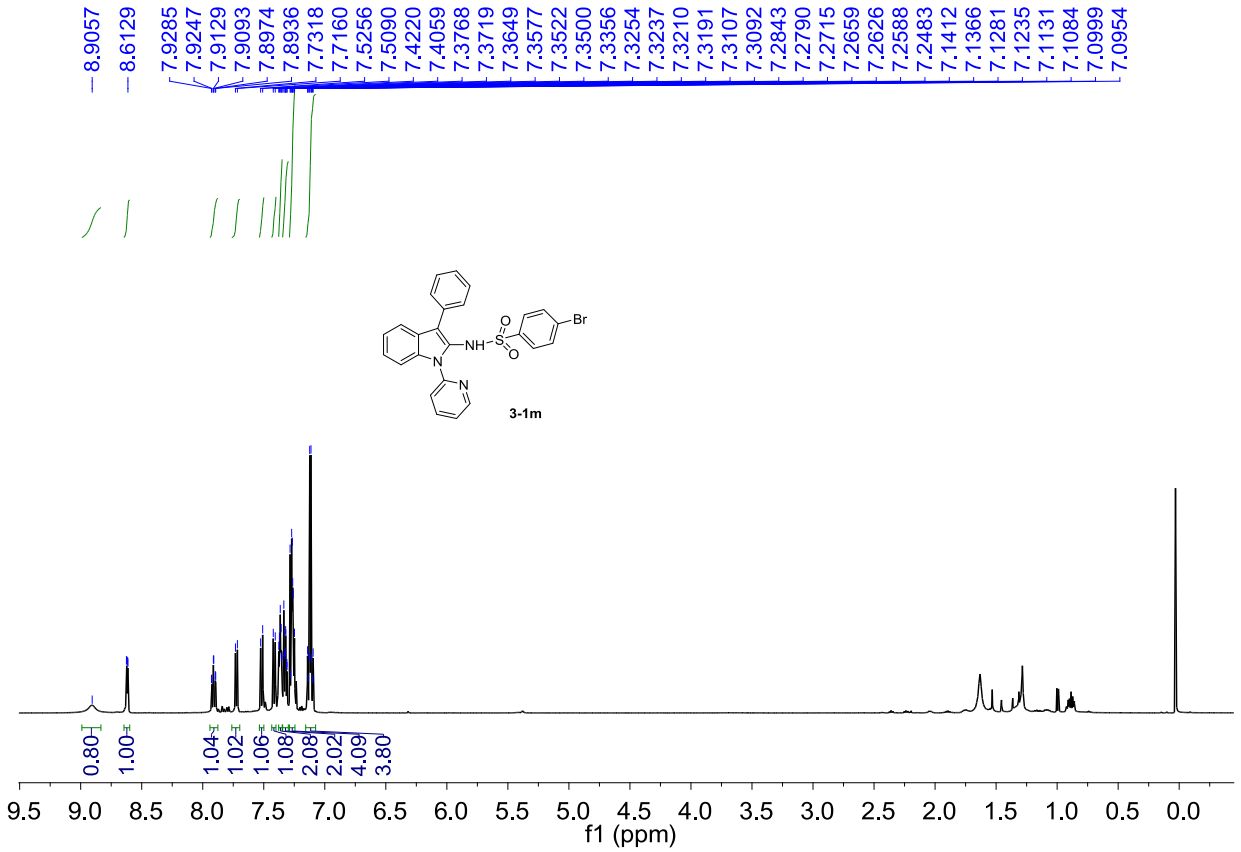


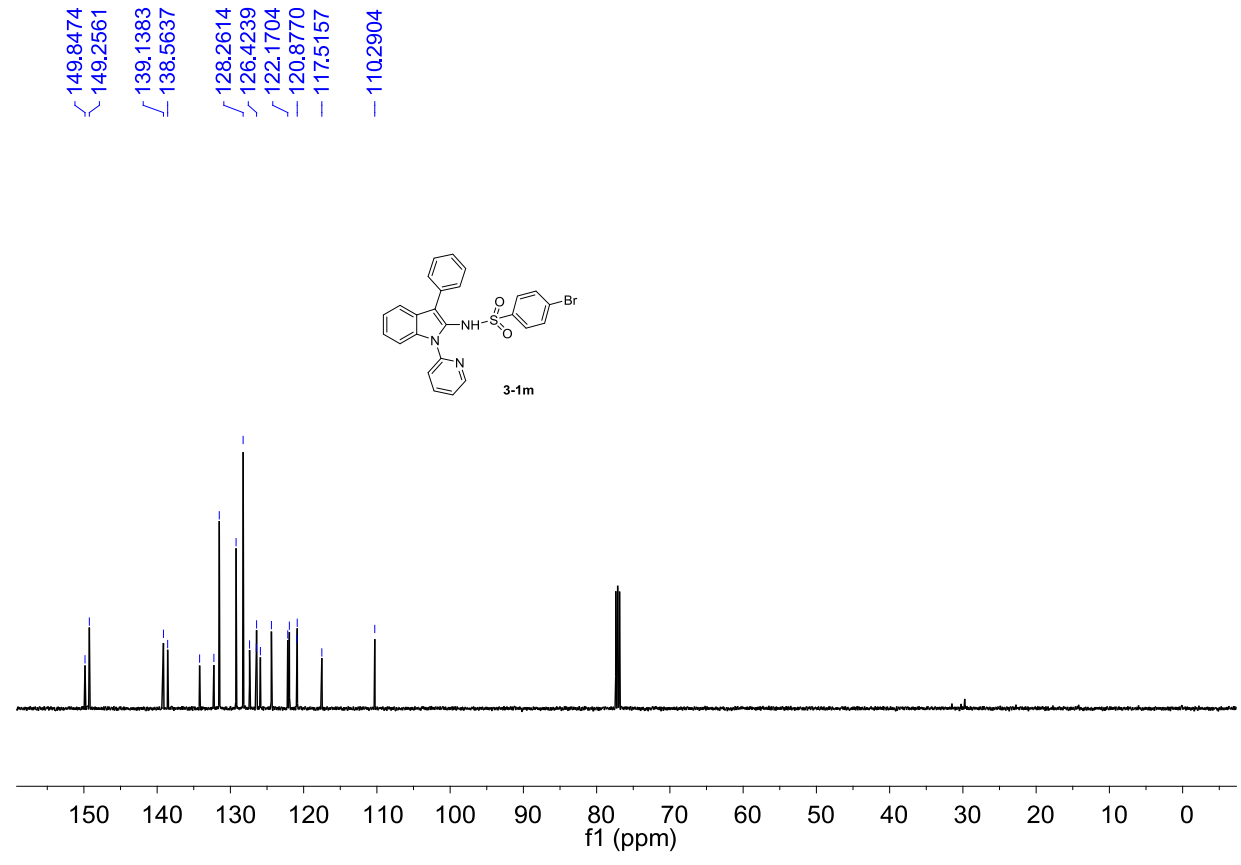
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1l** (using $CDCl_3$ as solvent)



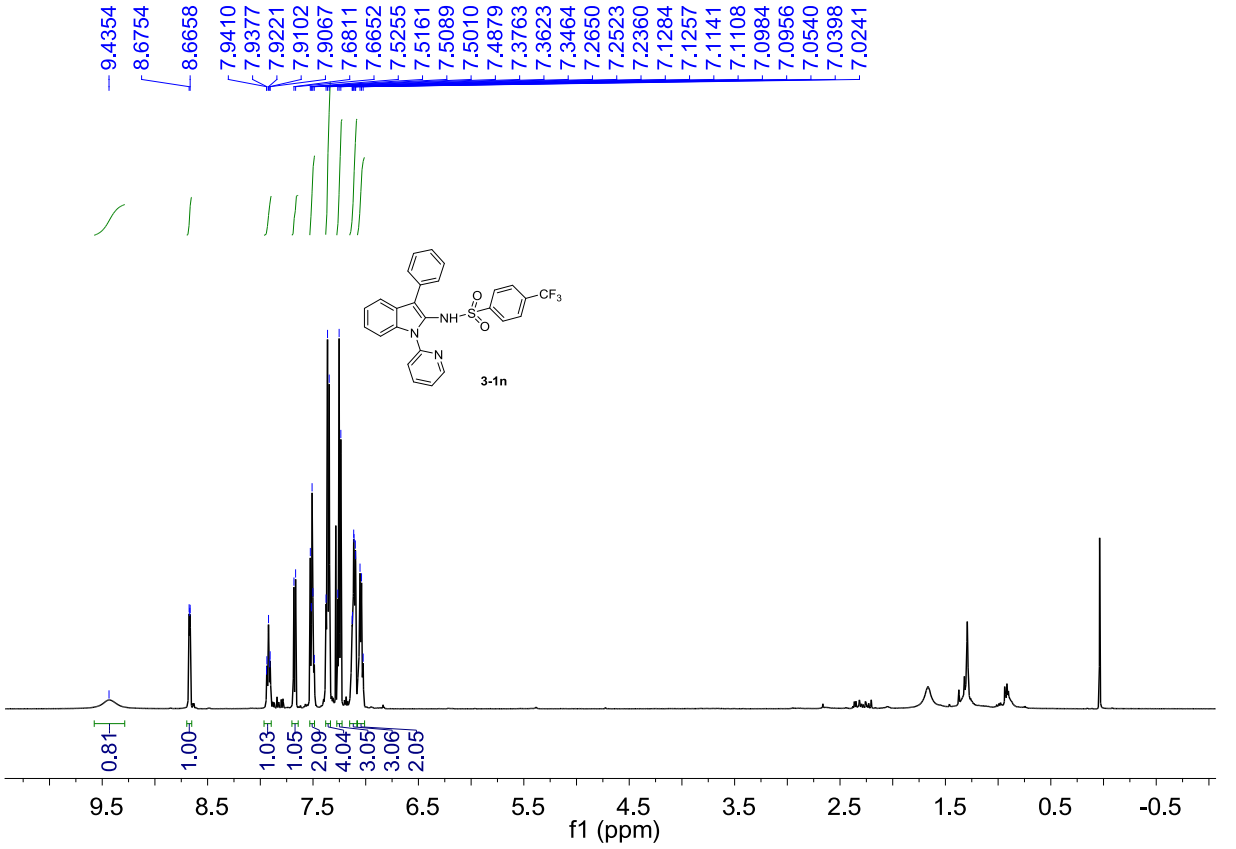


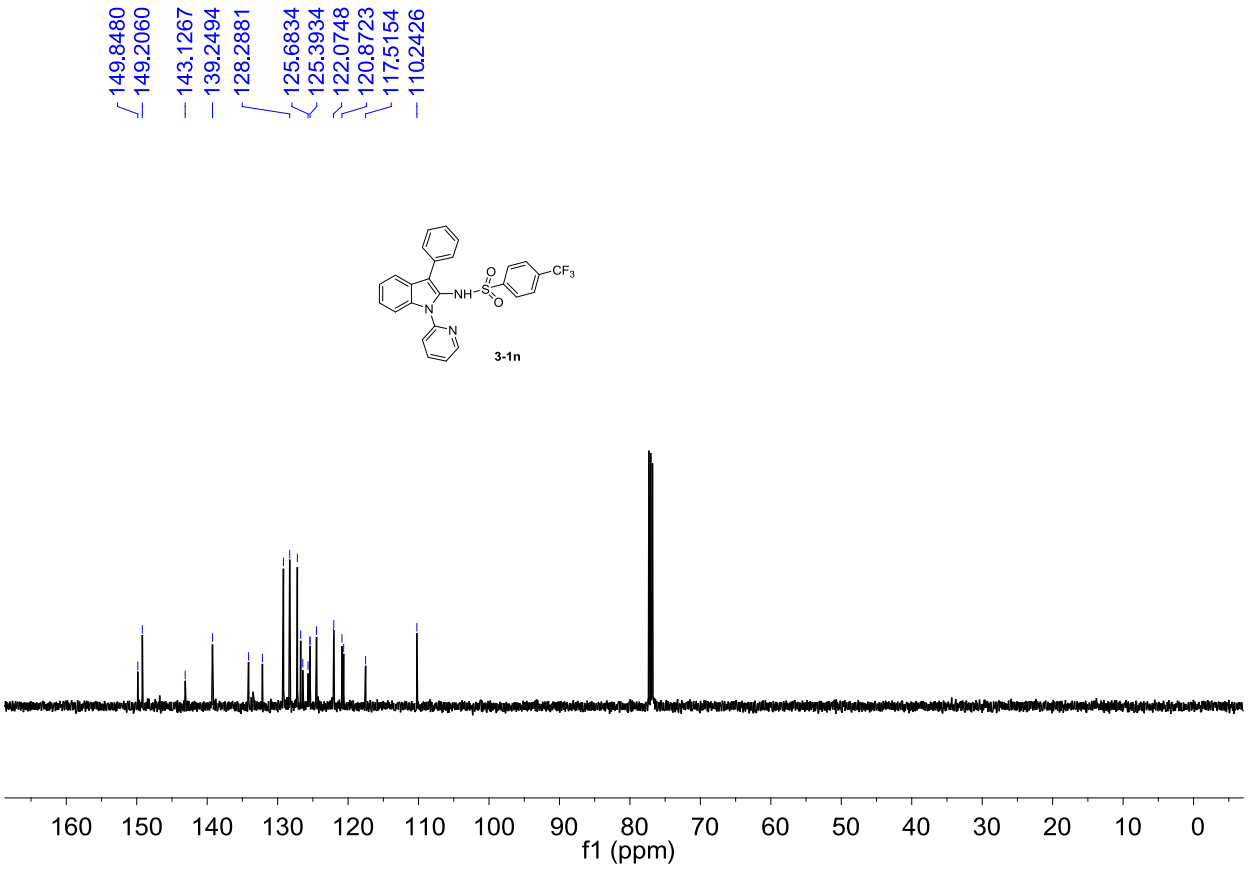
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1m** (using $CDCl_3$ as solvent)



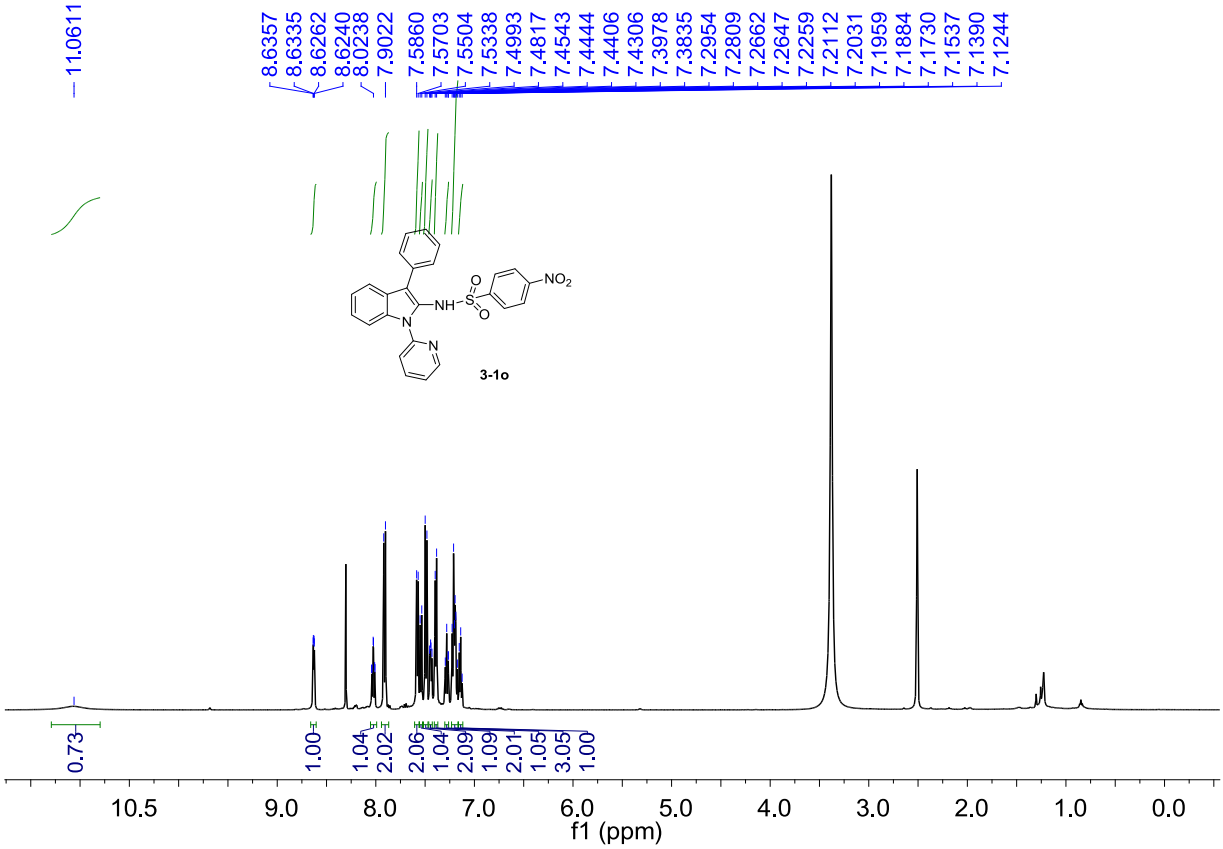


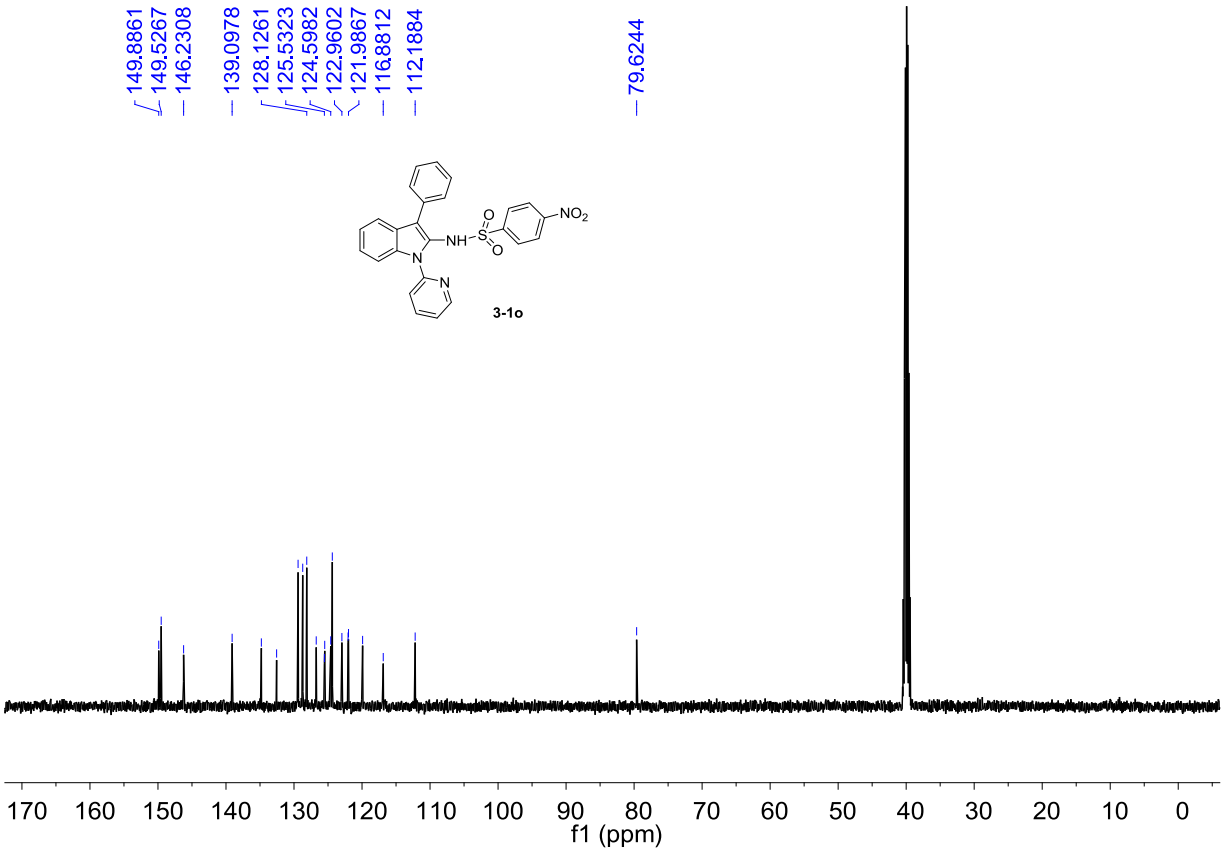
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1n** (using $CDCl_3$ as solvent)



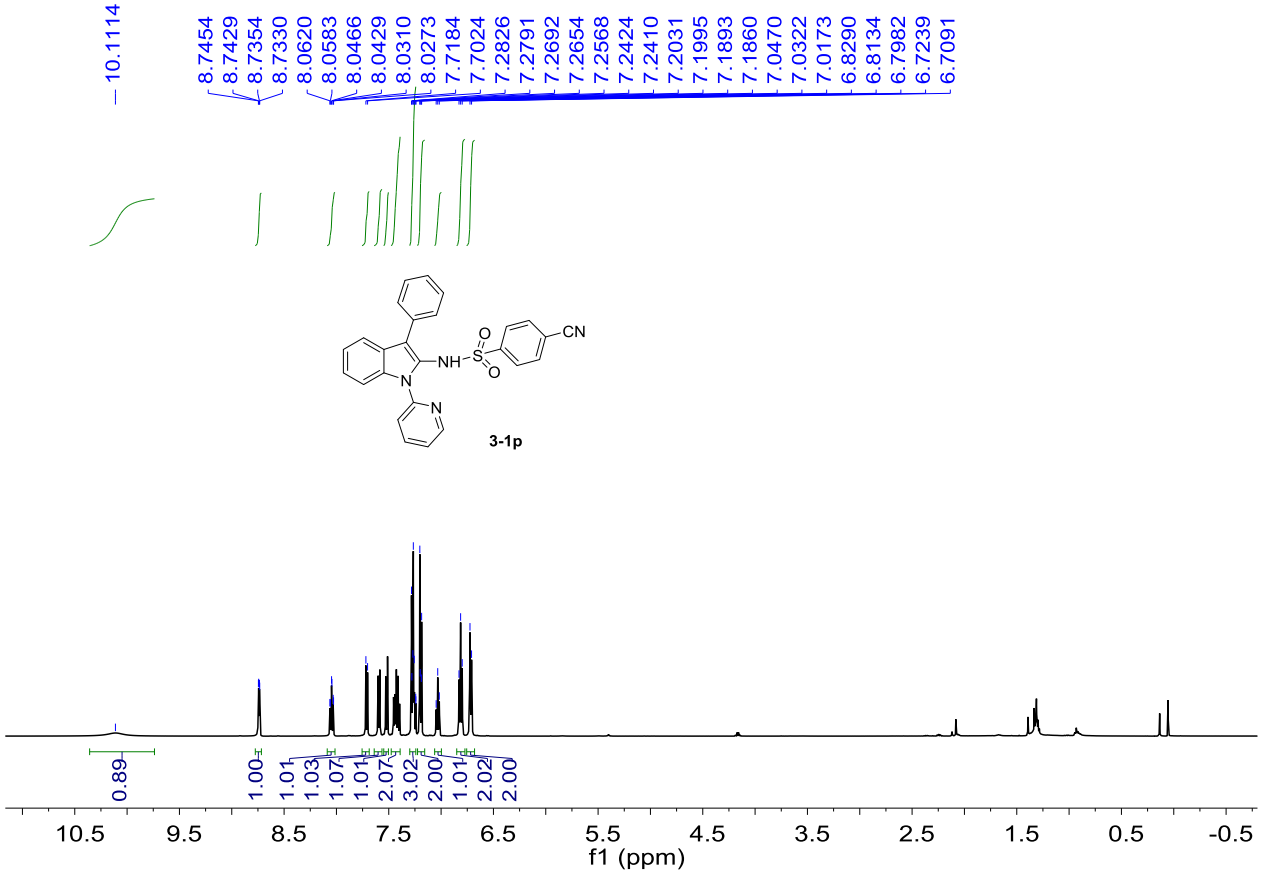


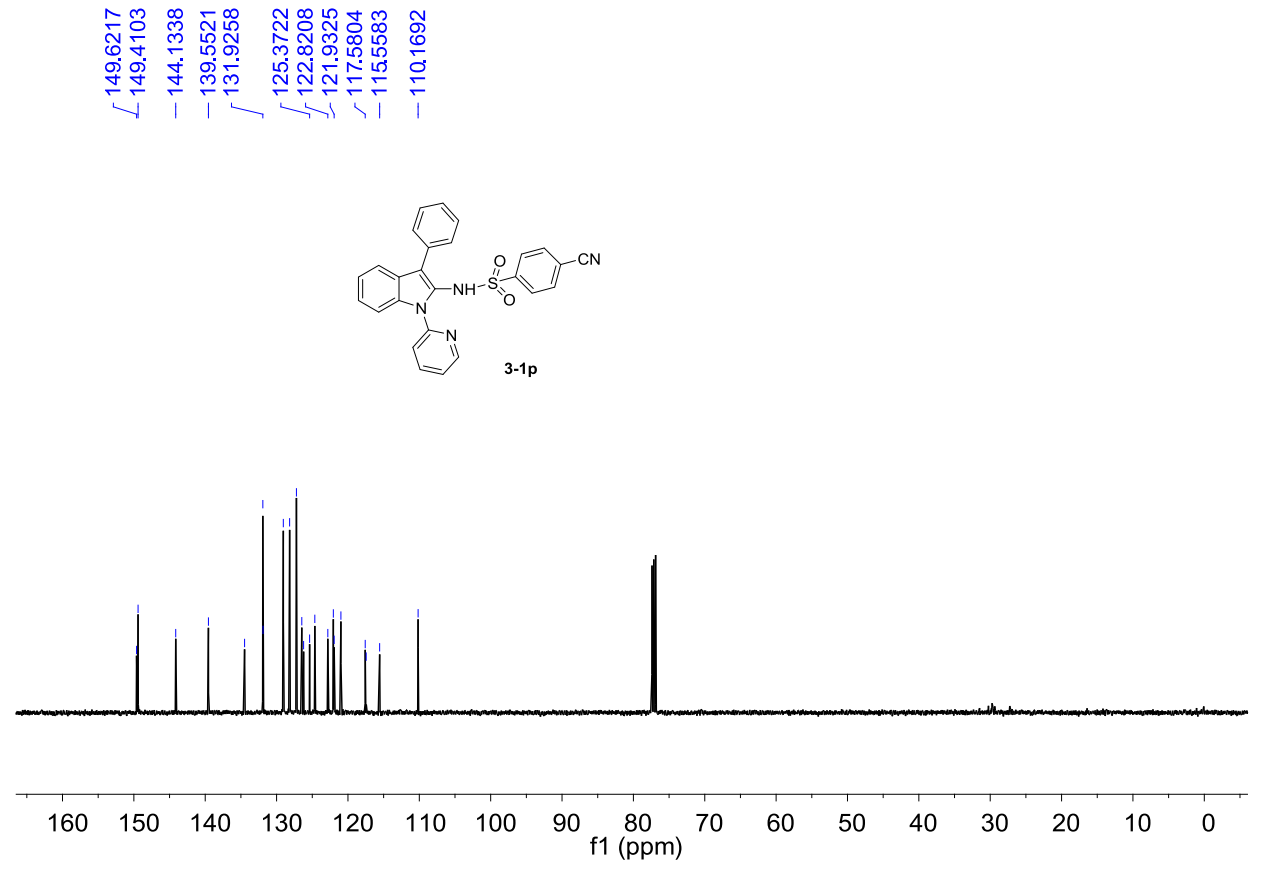
The ^{1}H NMR (400 MHz) and ^{13}C NMR (126 MHz) spectrum for **3-1o** (using DMSO as solvent)



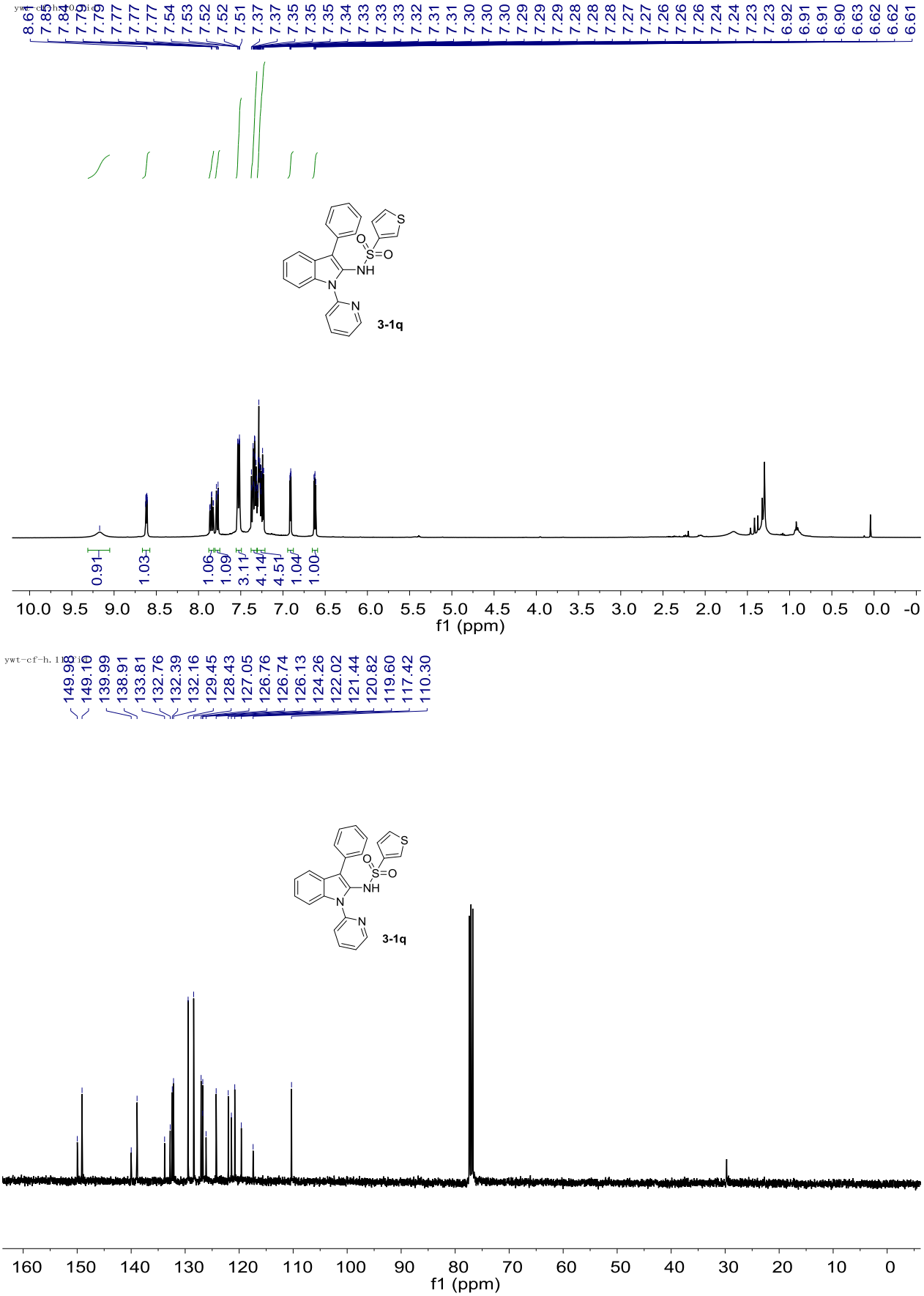


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1p** (using $CDCl_3$ as solvent)

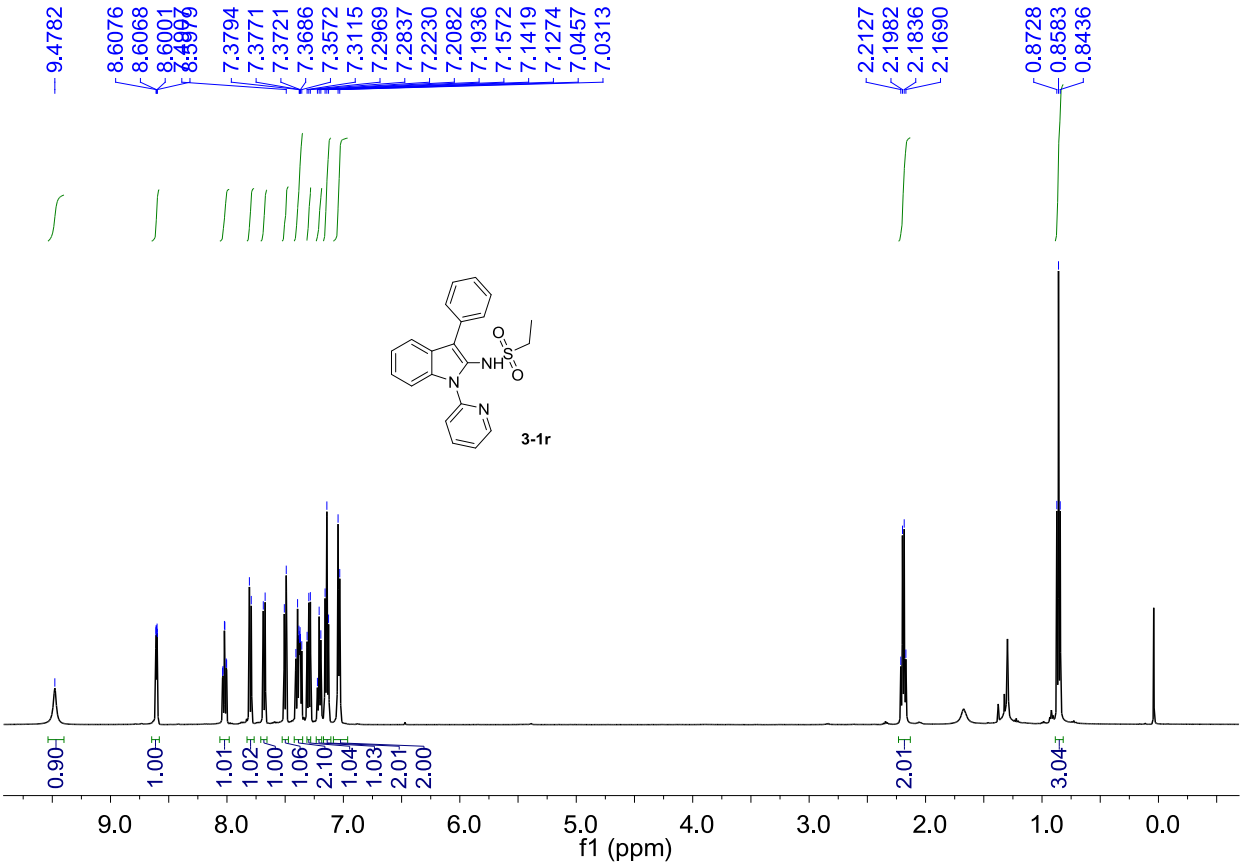


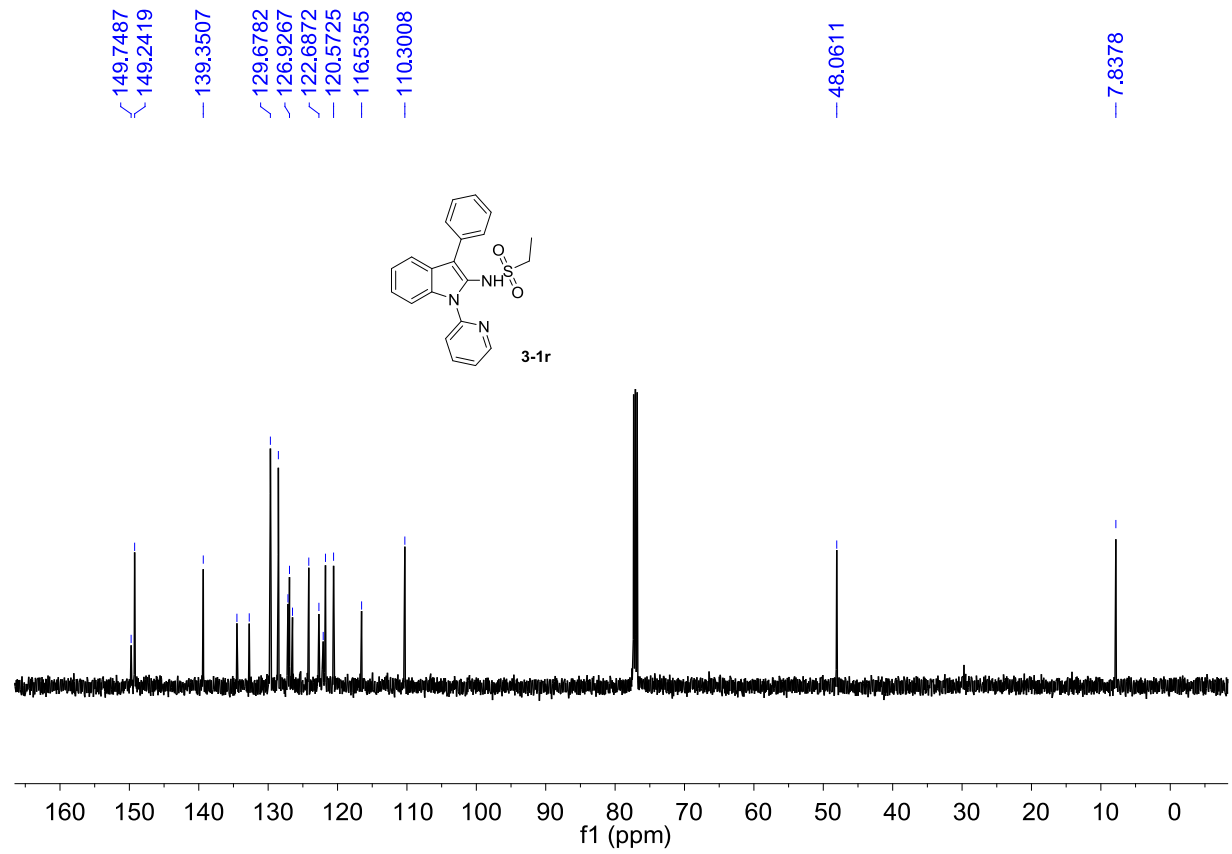


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1q** (using $CDCl_3$ as solvent)

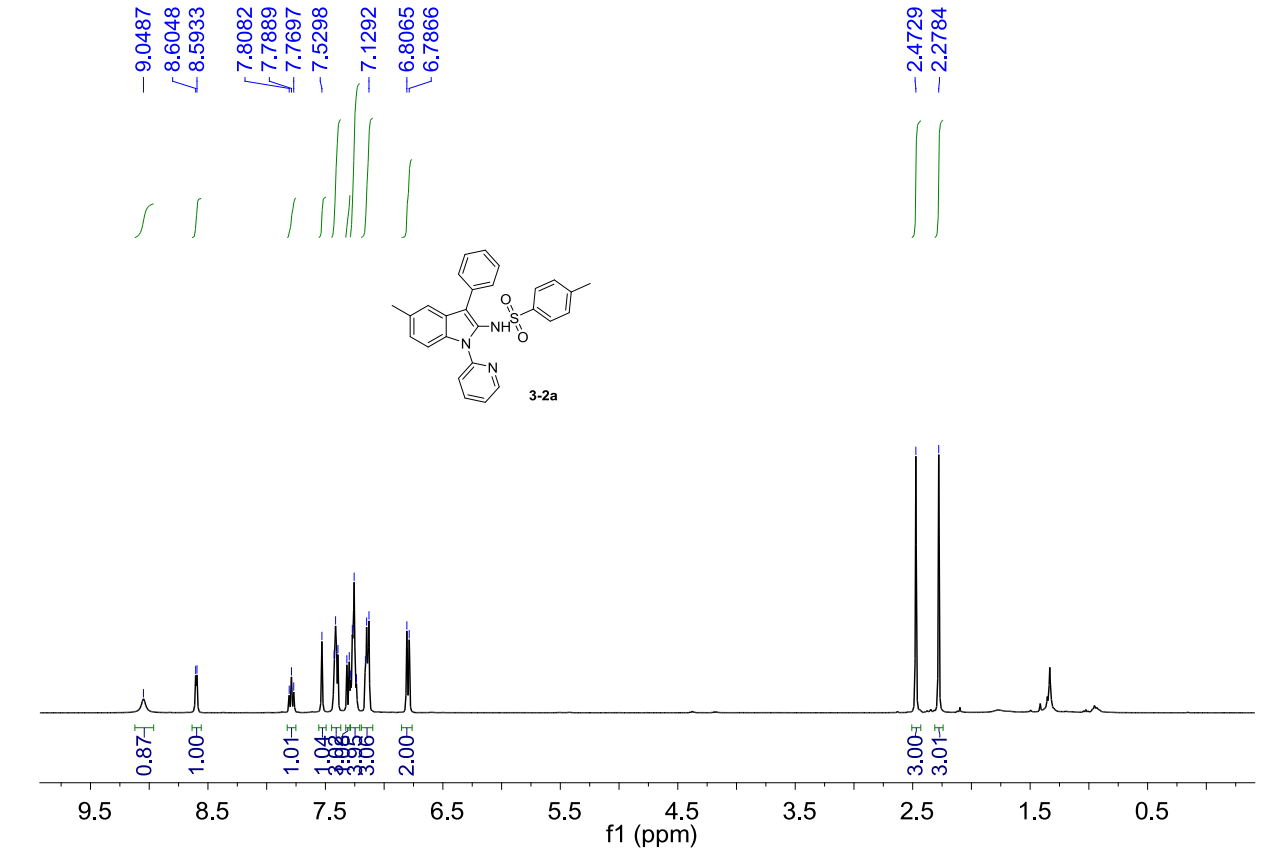


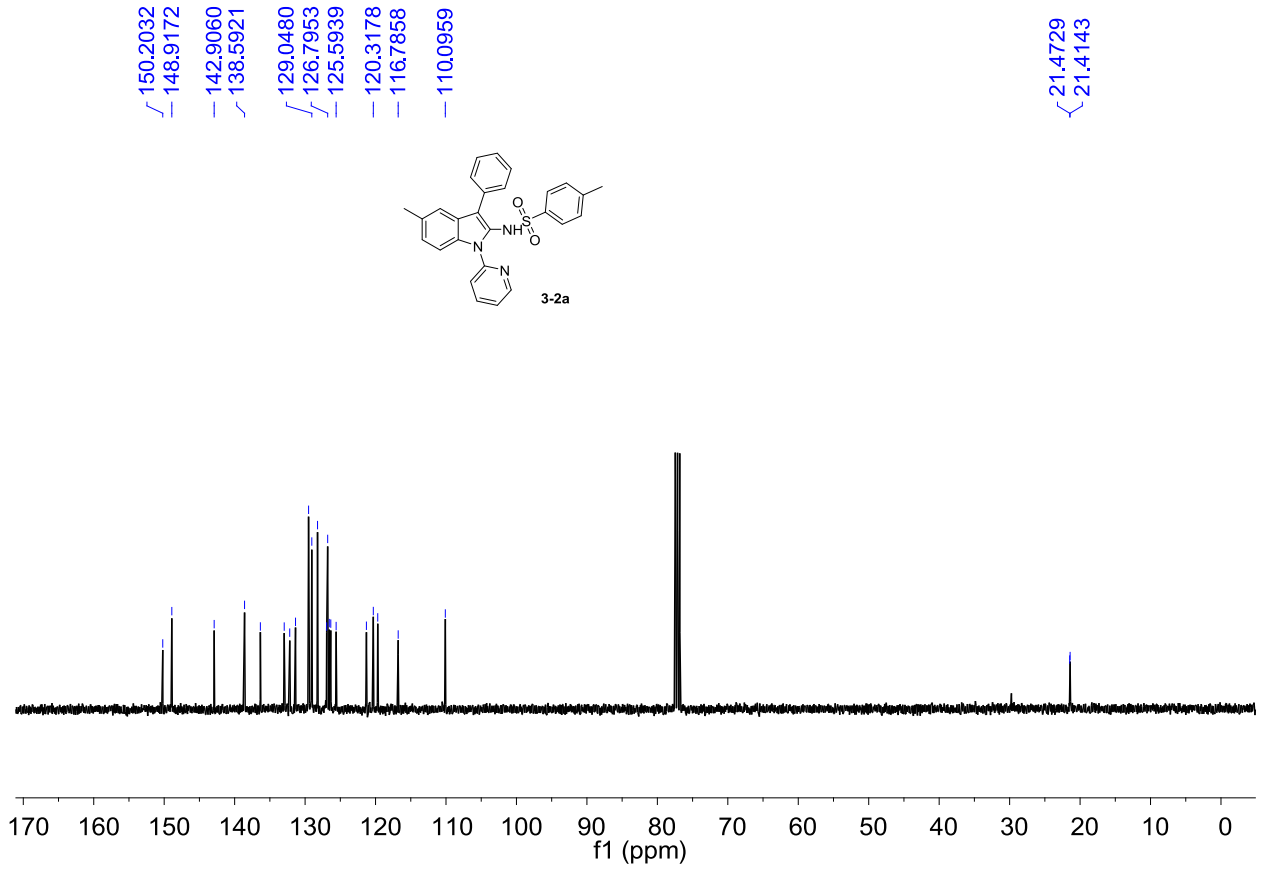
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-1r** (using $CDCl_3$ as solvent)



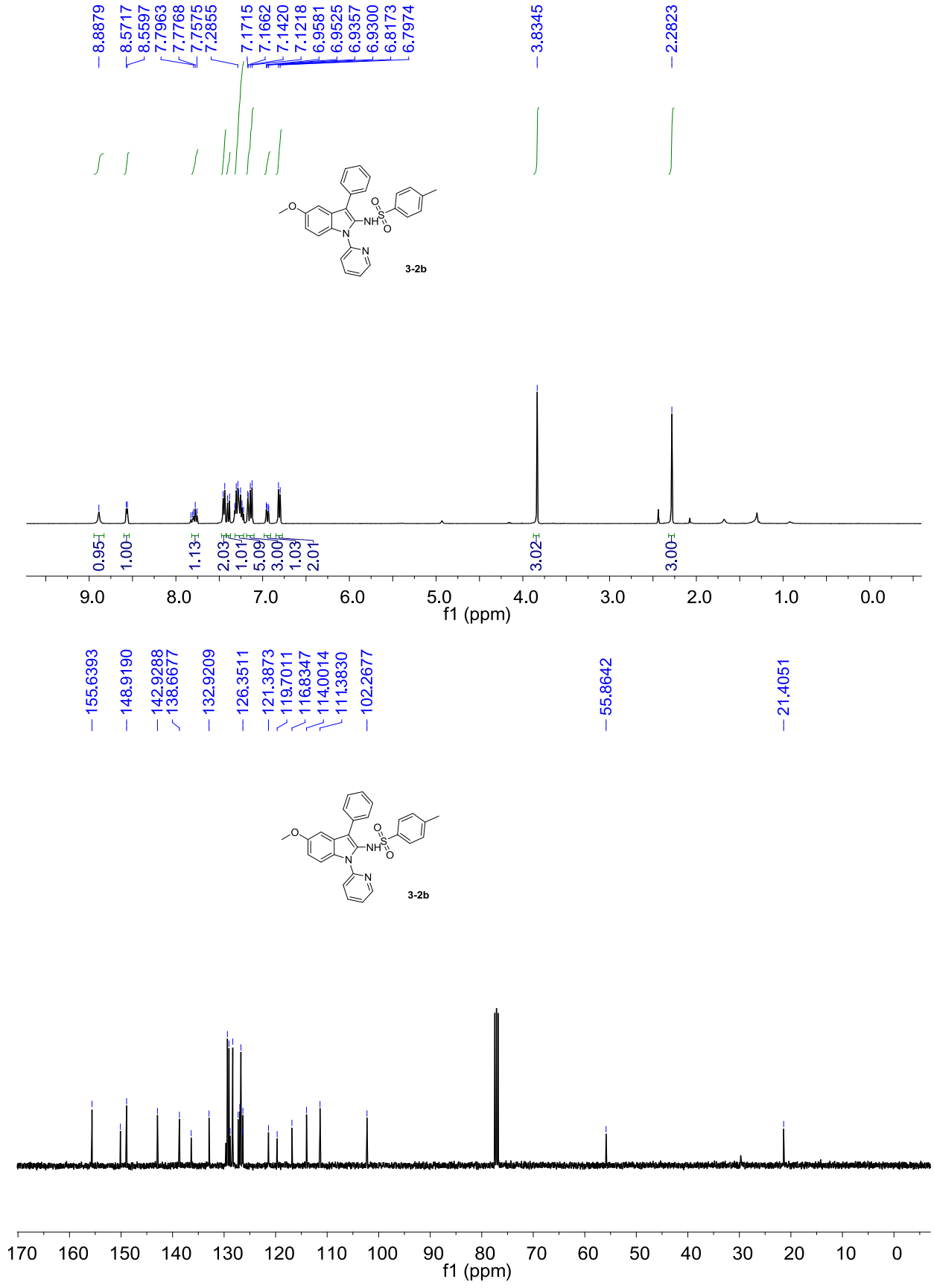


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2a** (using $CDCl_3$ as solvent)

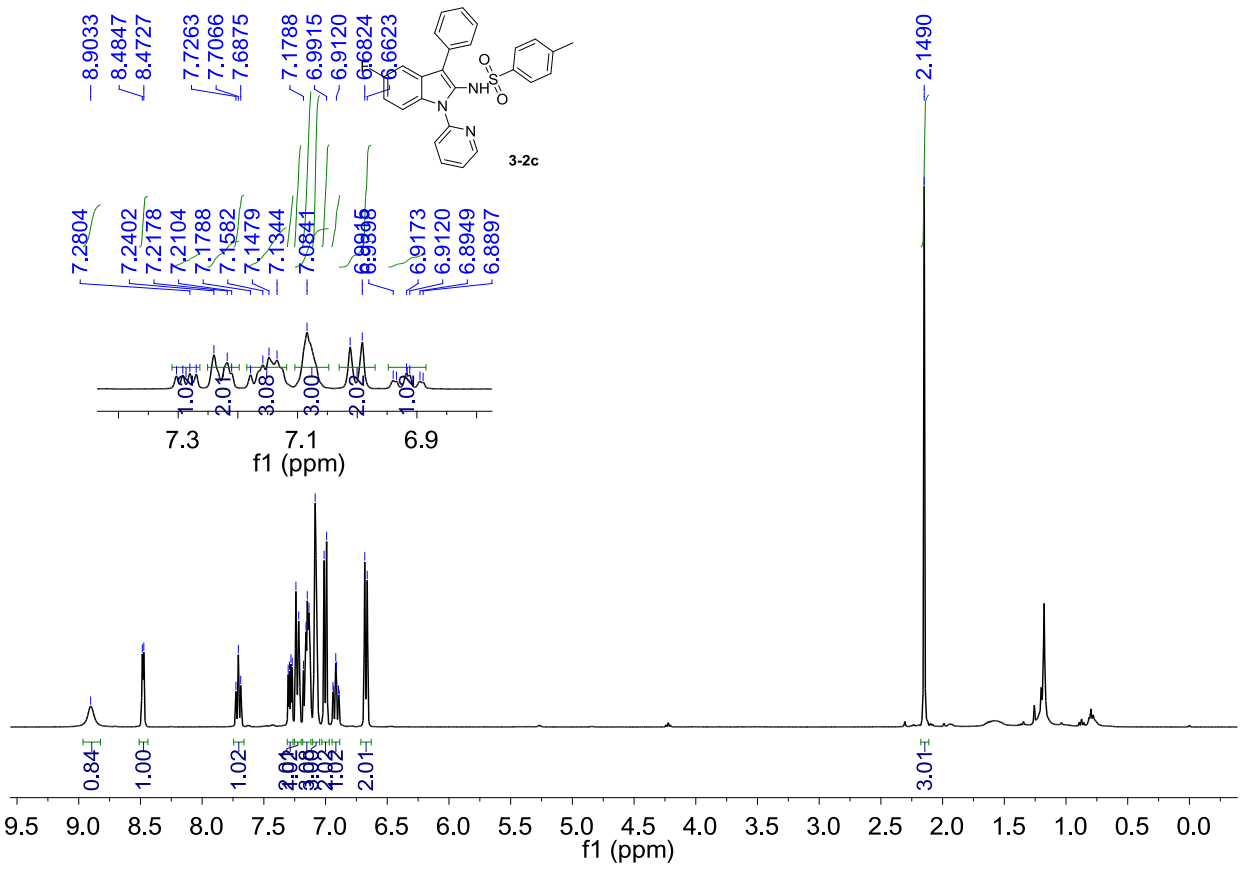


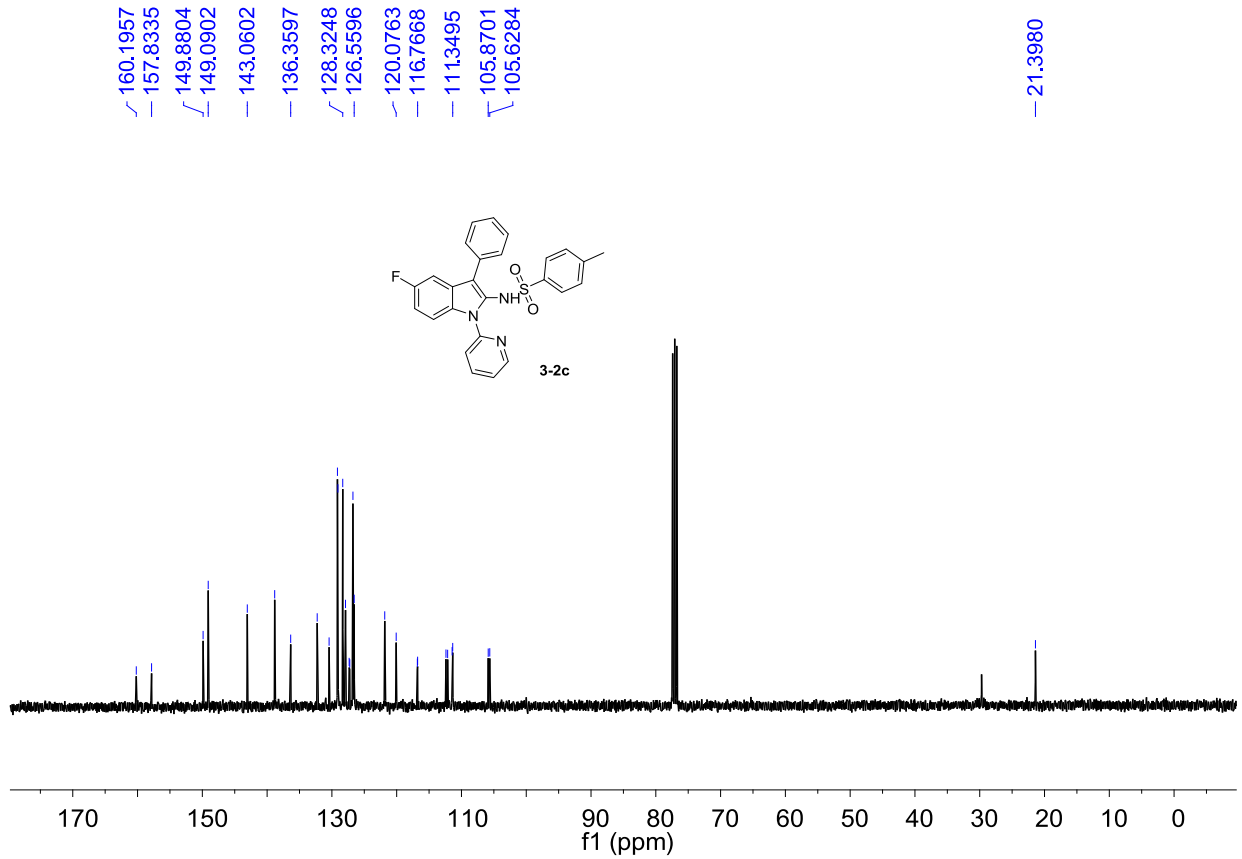


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2b** (using $CDCl_3$ as solvent)

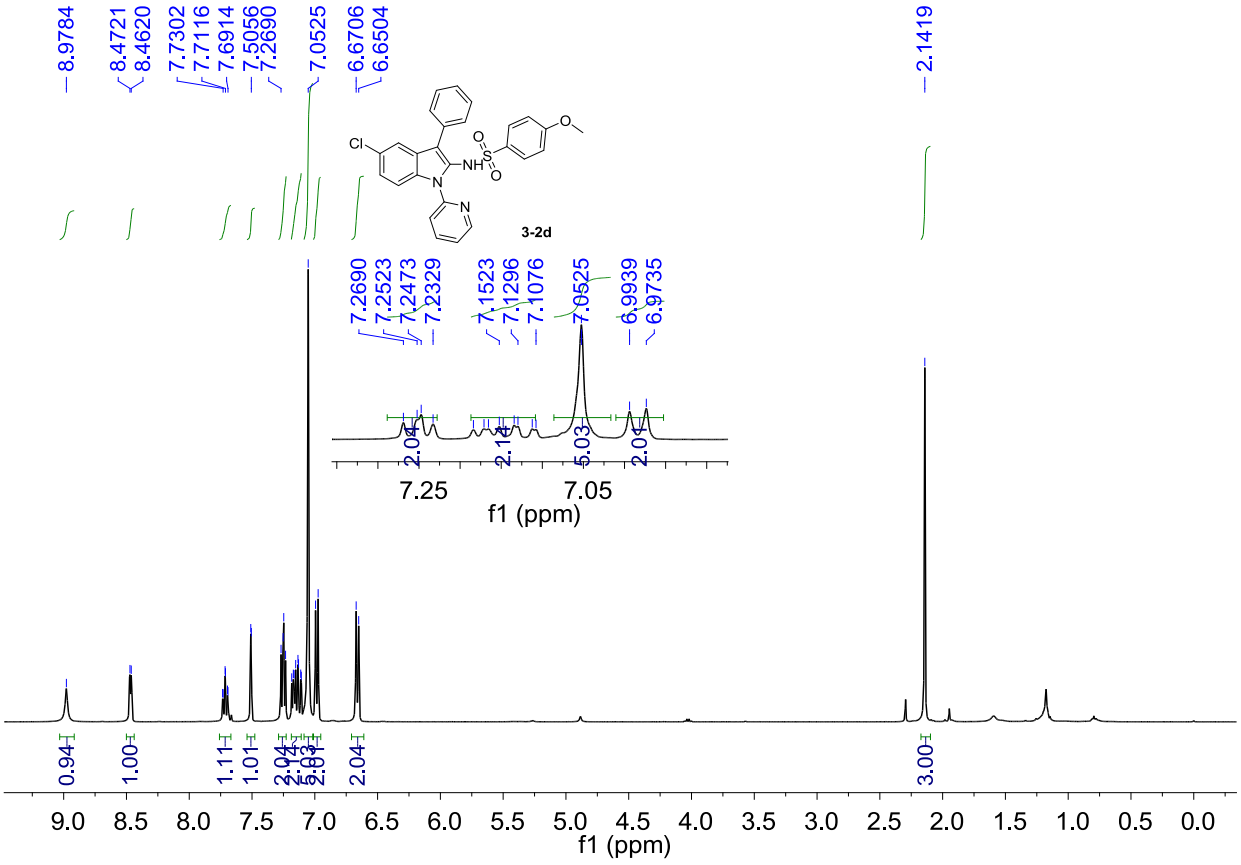


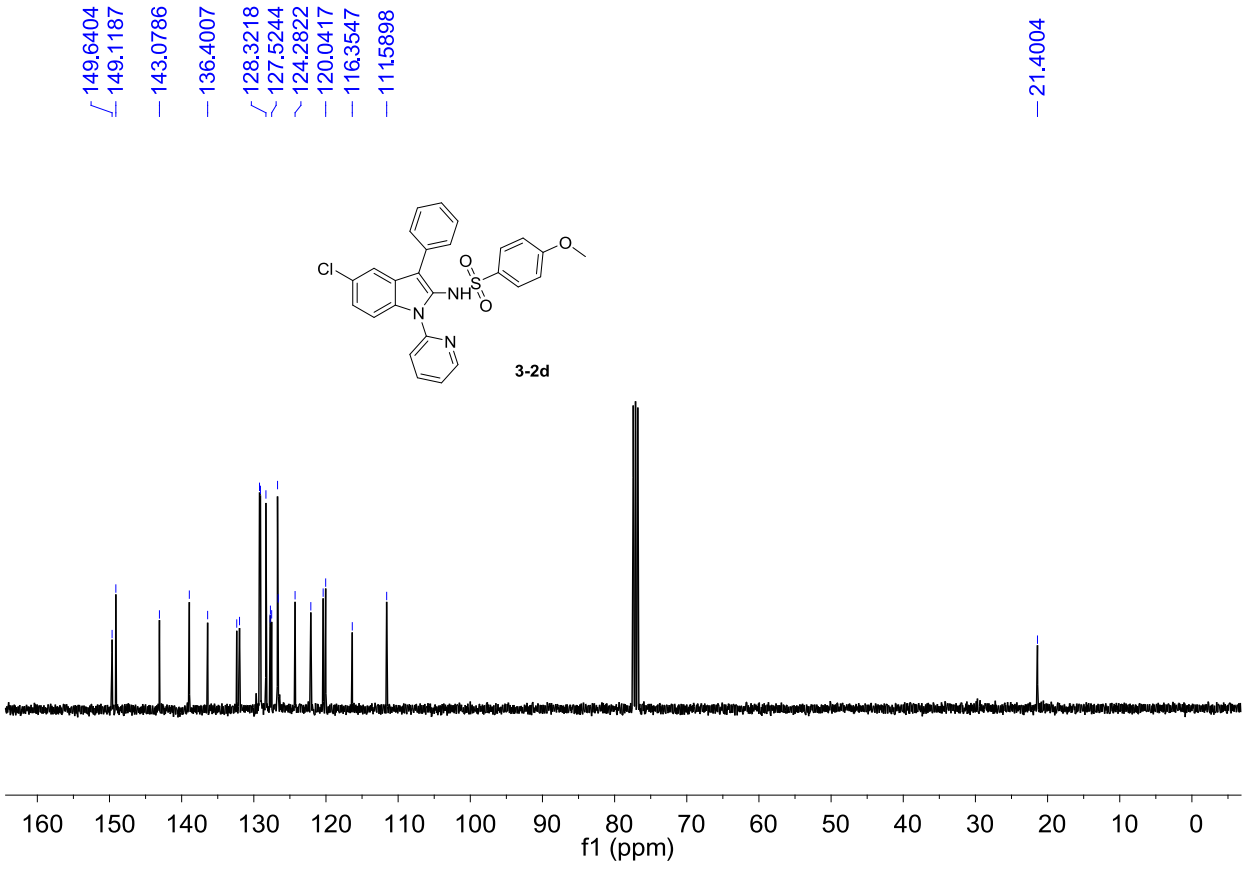
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2c** (using $CDCl_3$ as solvent)



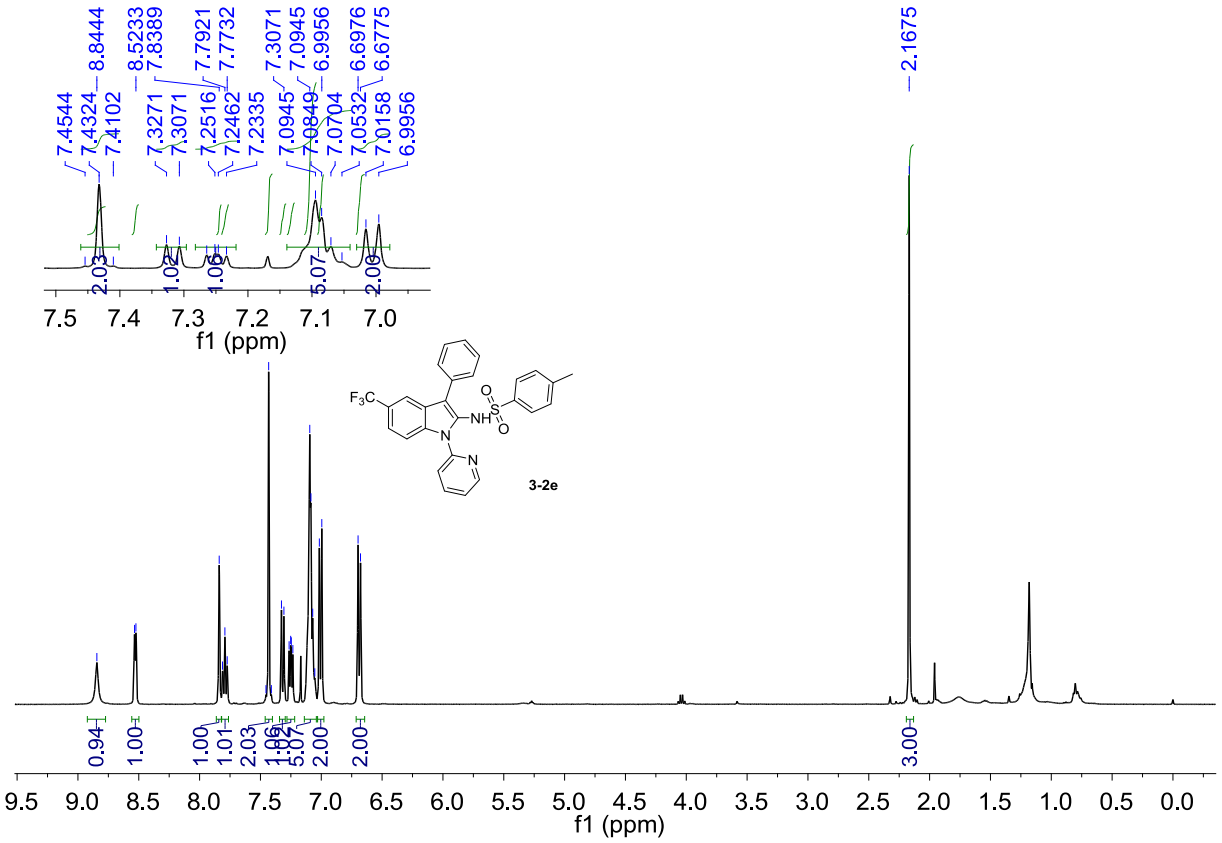


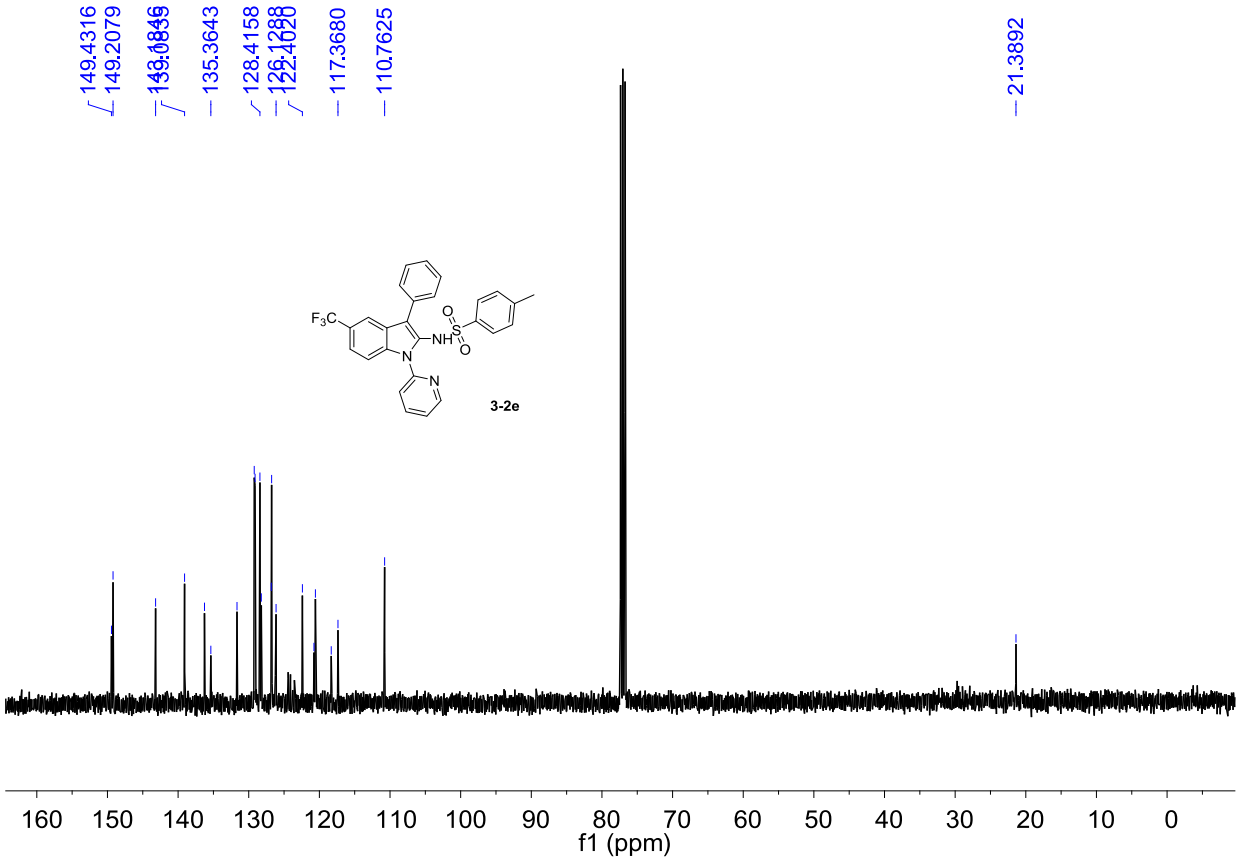
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2d** (using $CDCl_3$ as solvent)



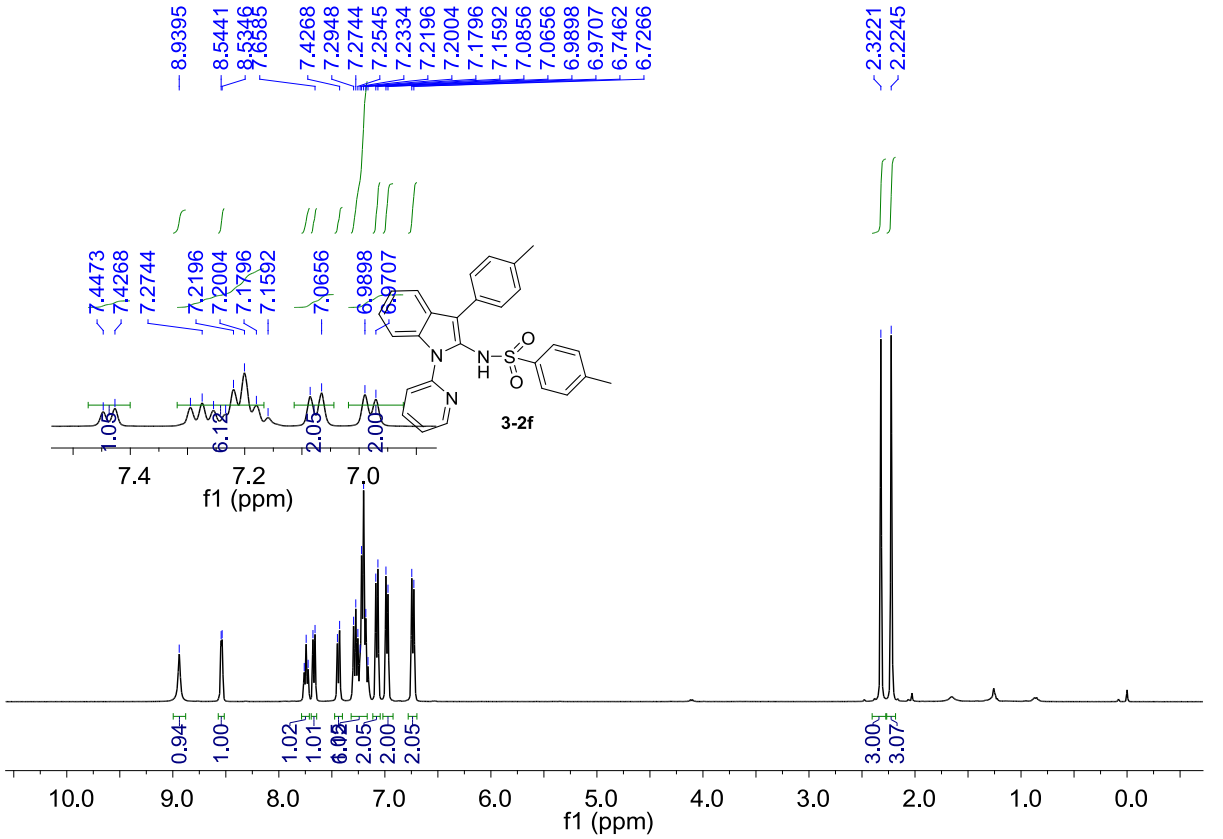


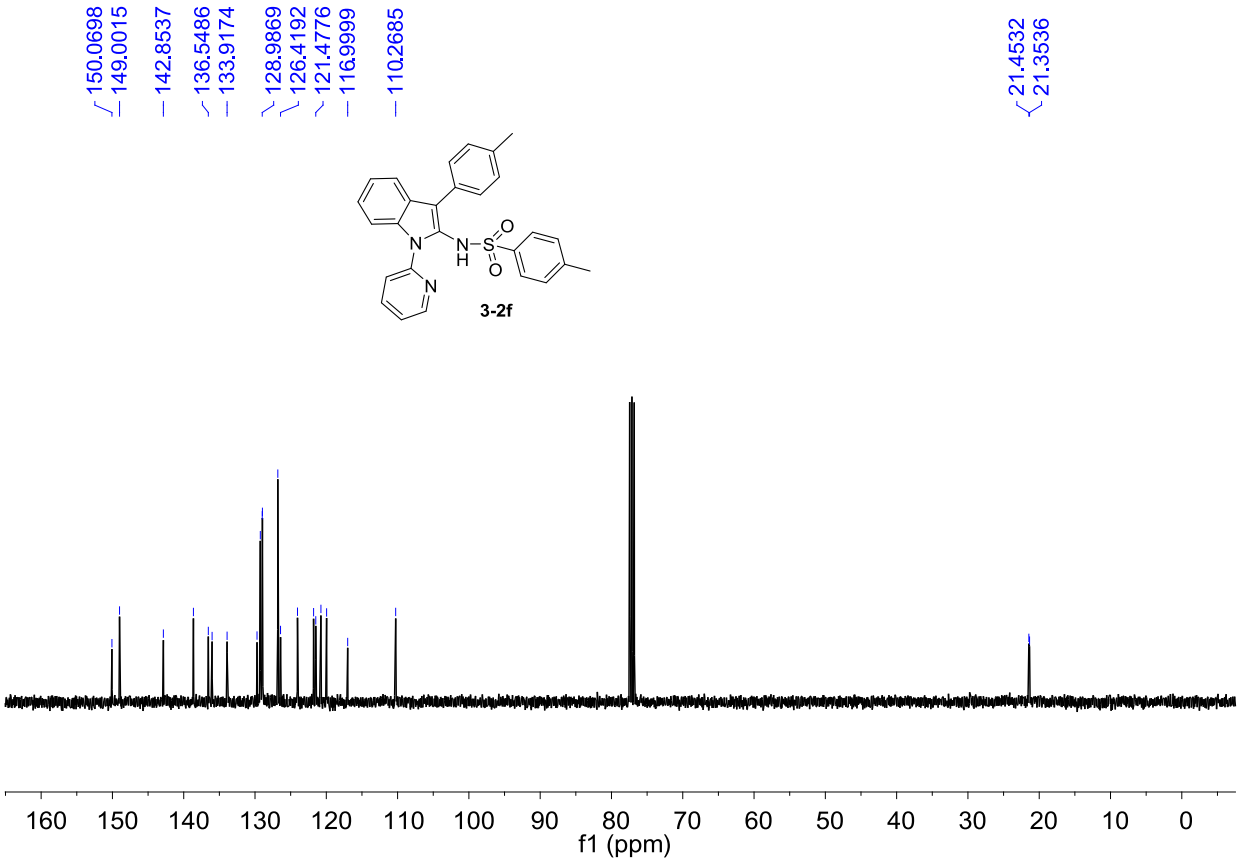
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2e** (using $CDCl_3$ as solvent)



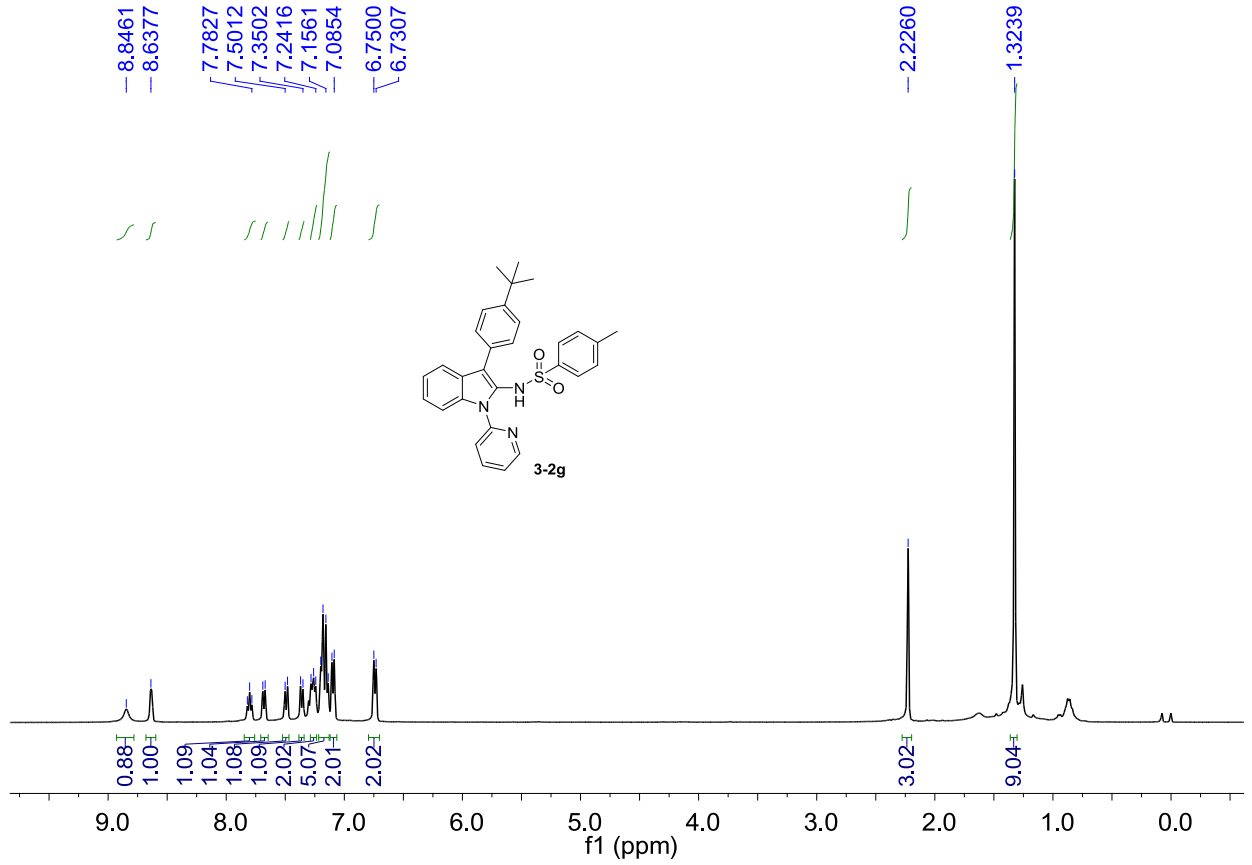


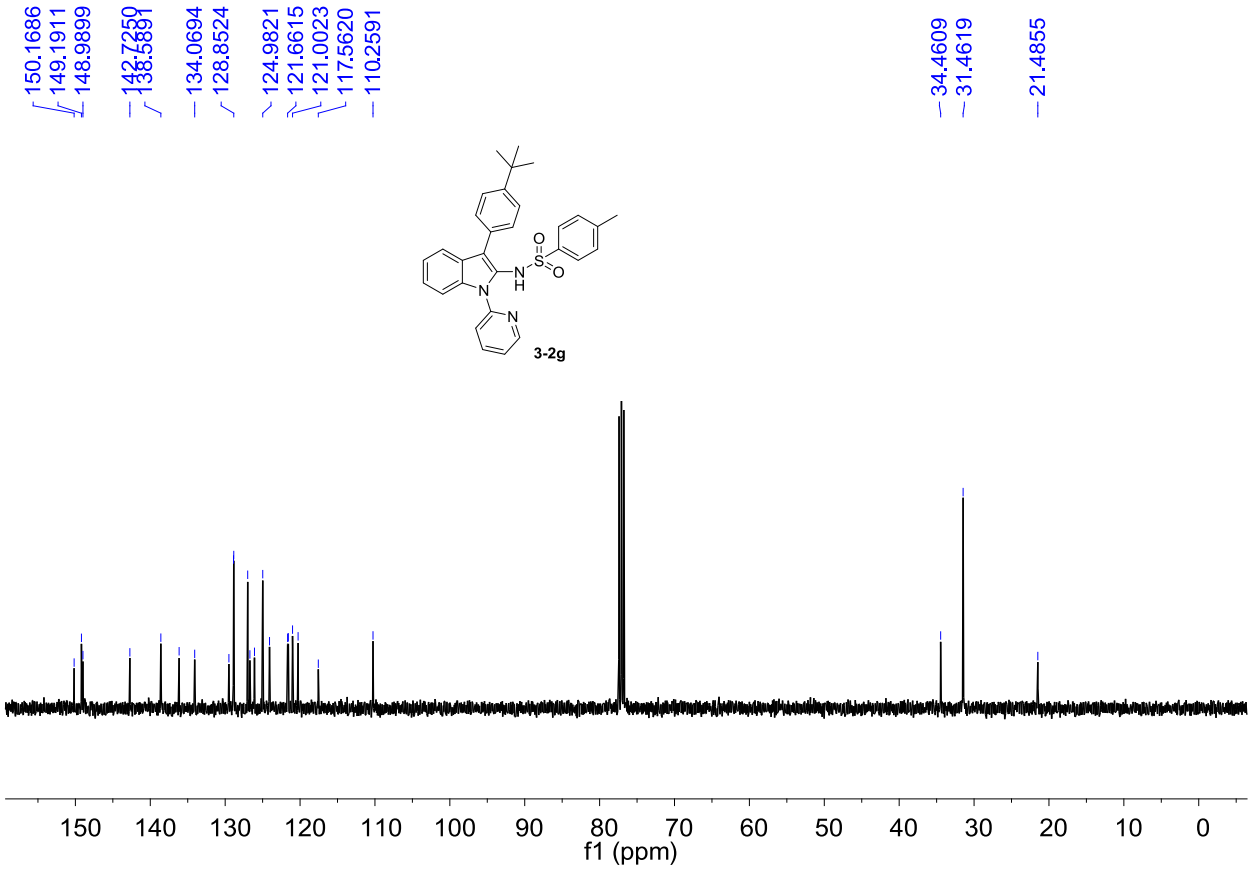
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2f** (using $CDCl_3$ as solvent)



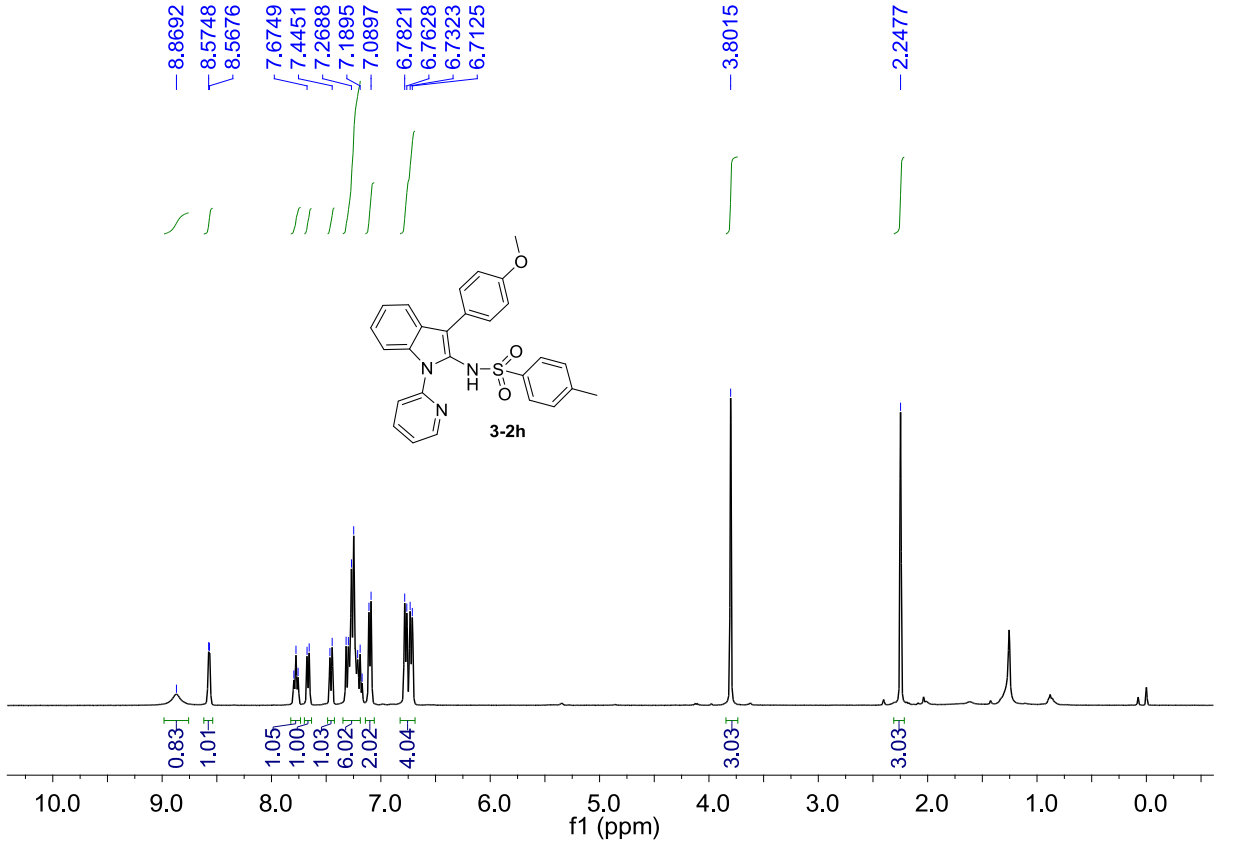


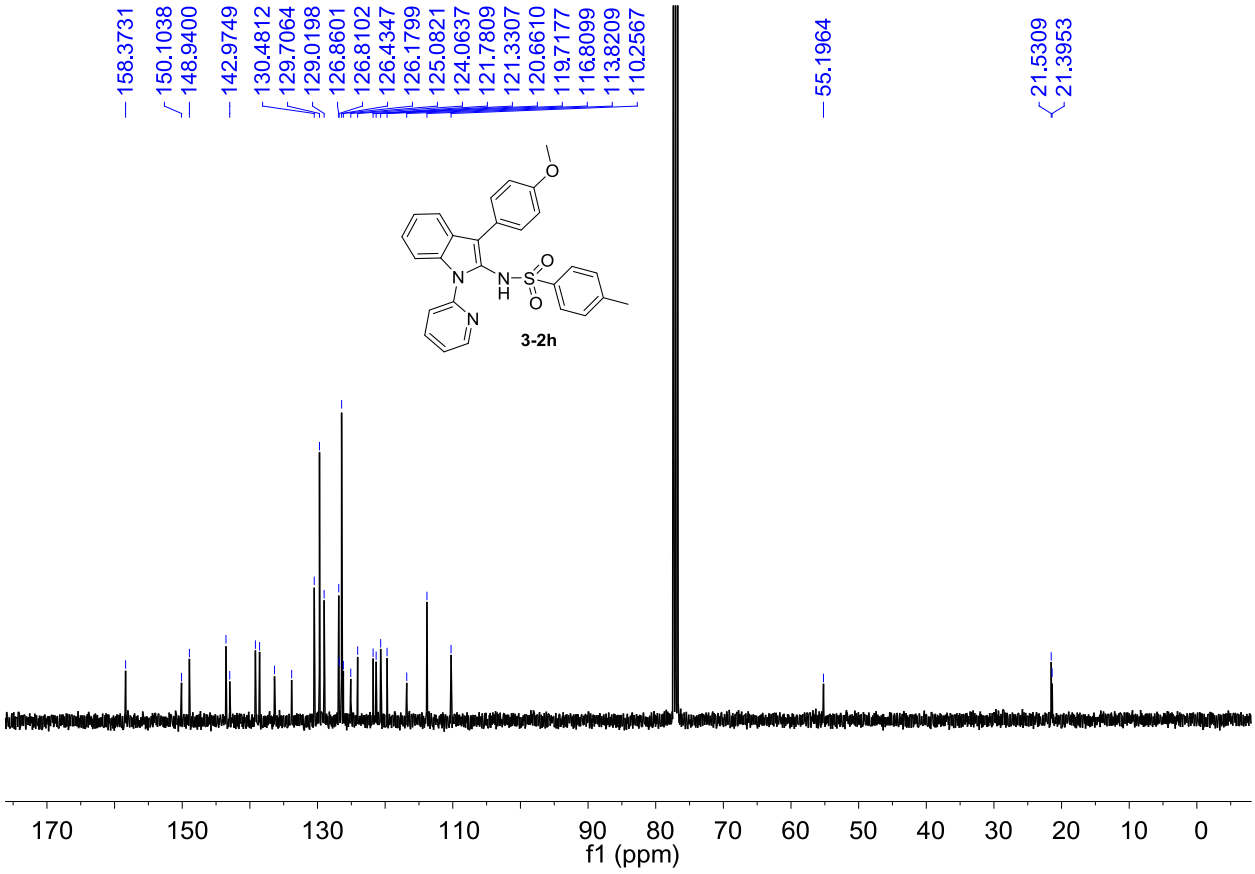
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2g** (using $CDCl_3$ as solvent)



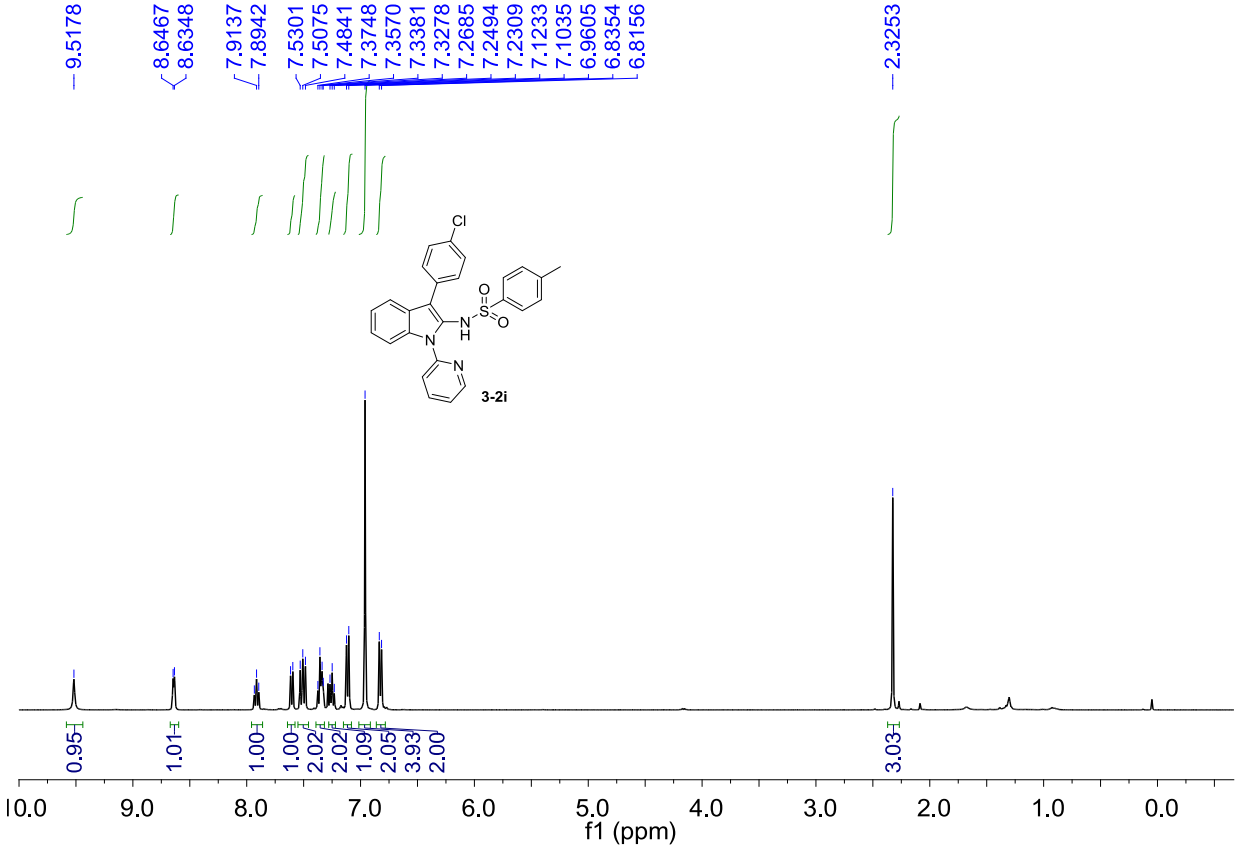


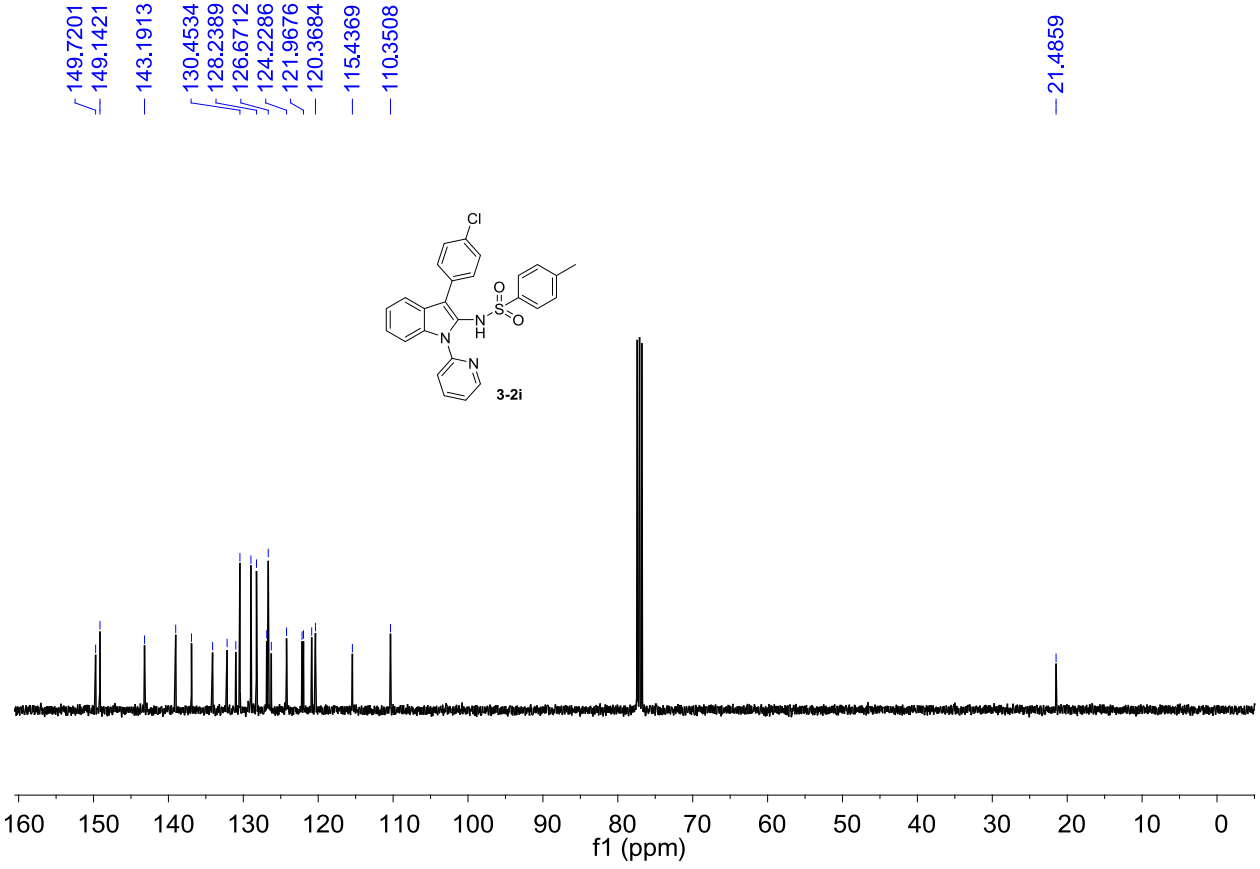
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2h** (using $CDCl_3$ as solvent)



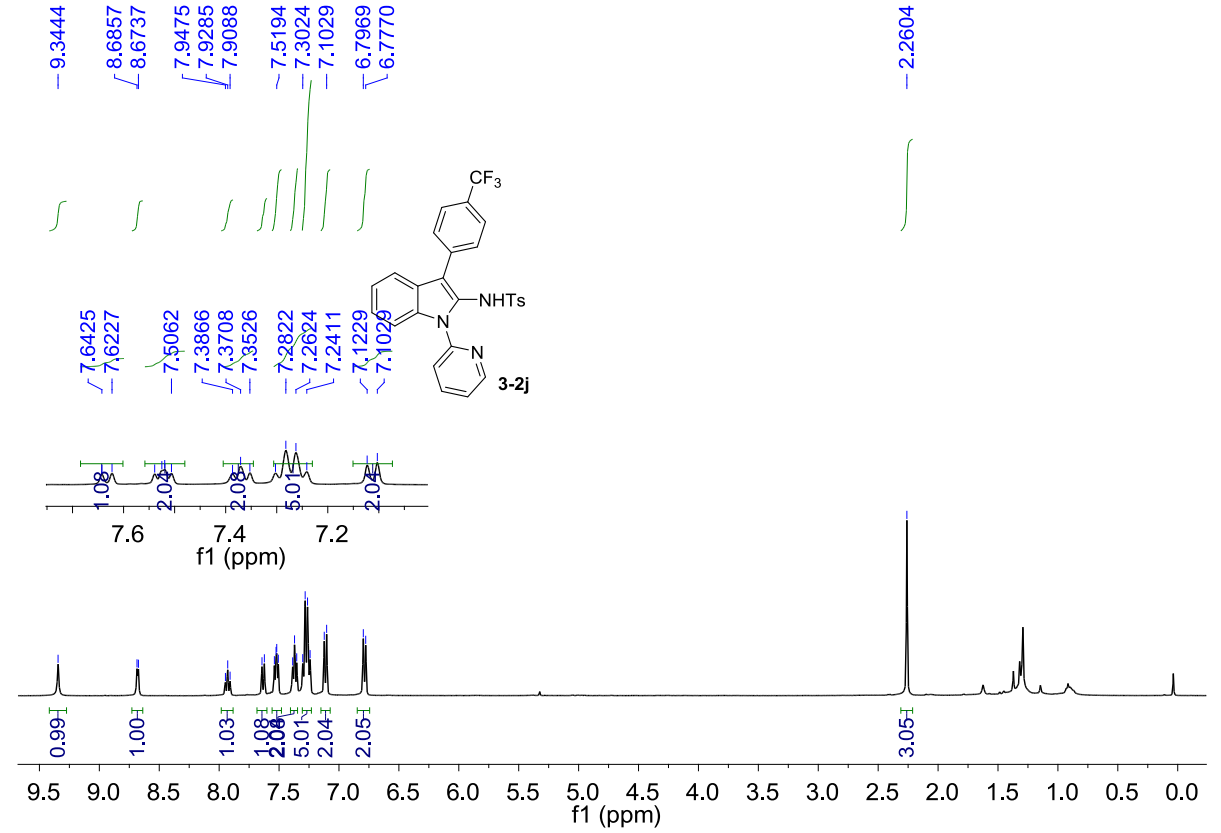


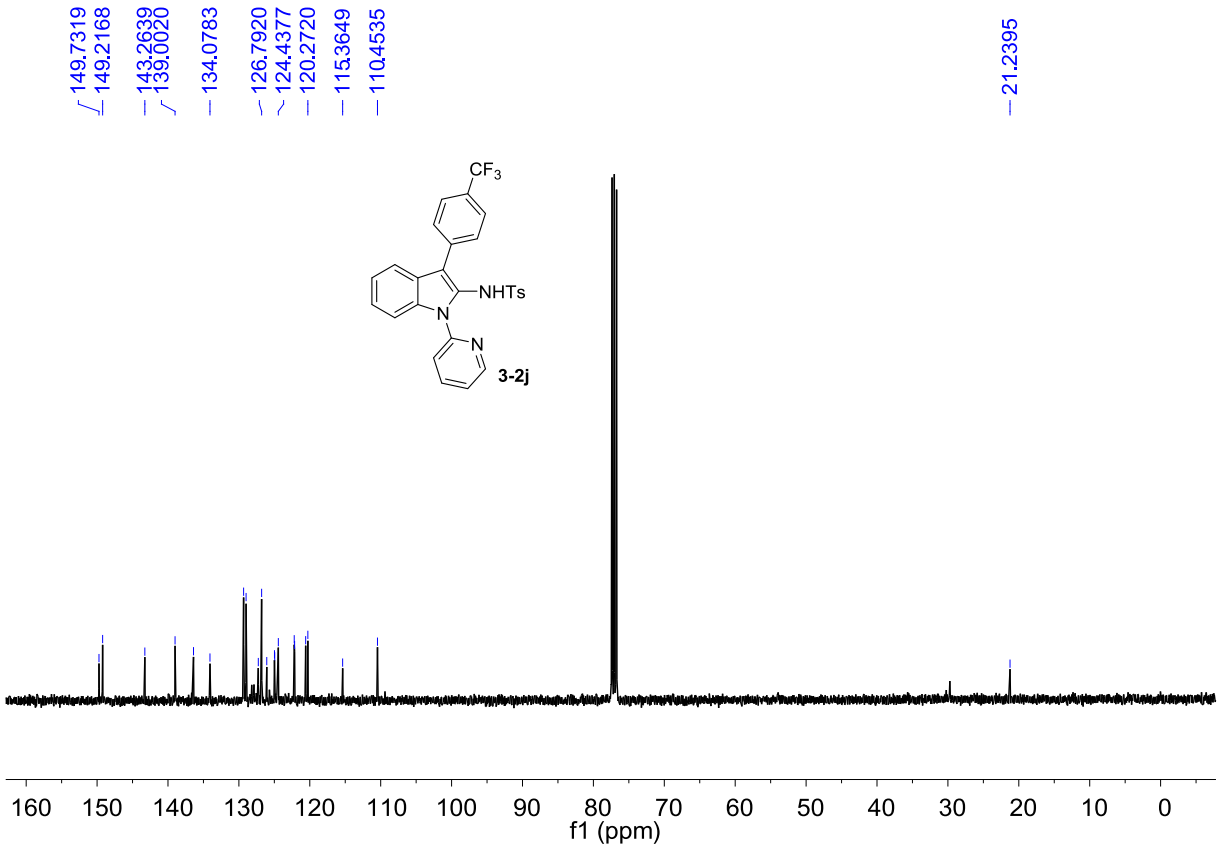
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2i** (using $CDCl_3$ as solvent)



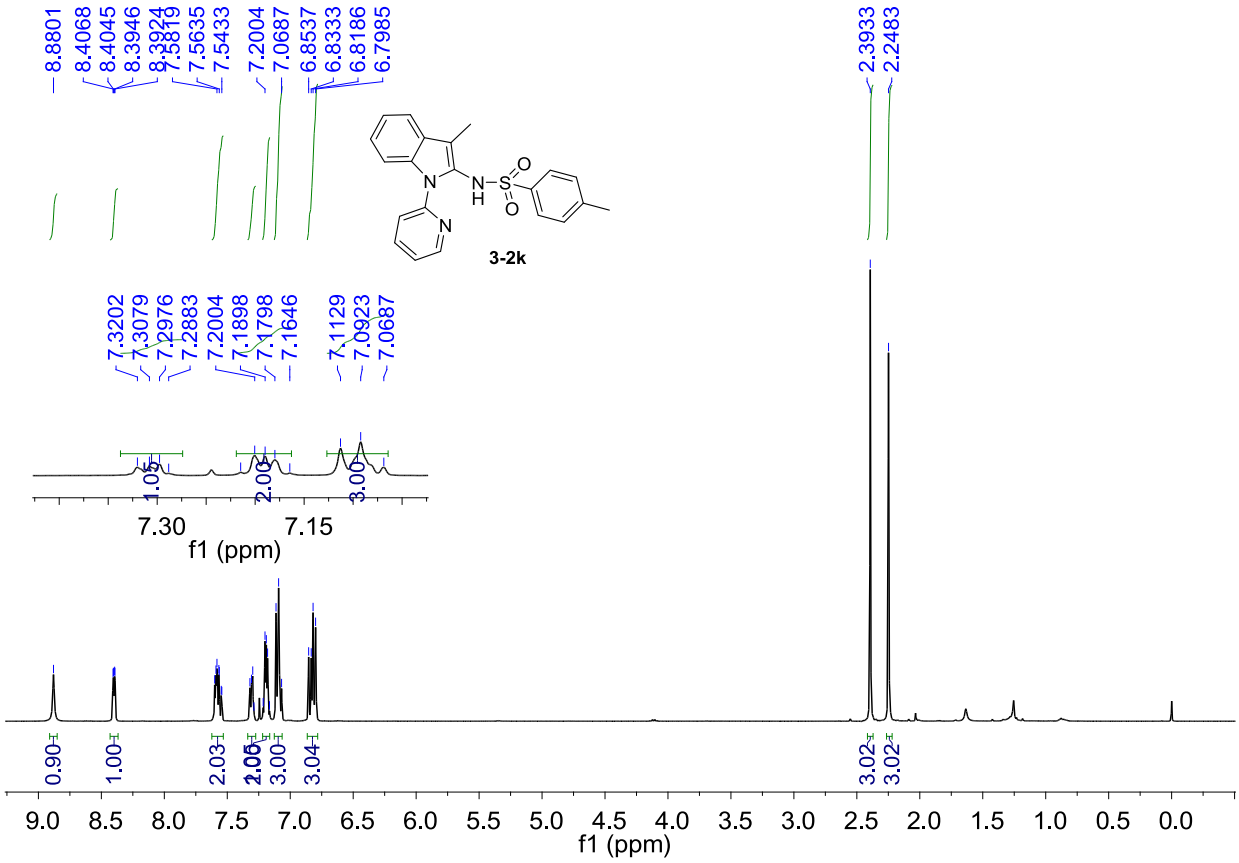


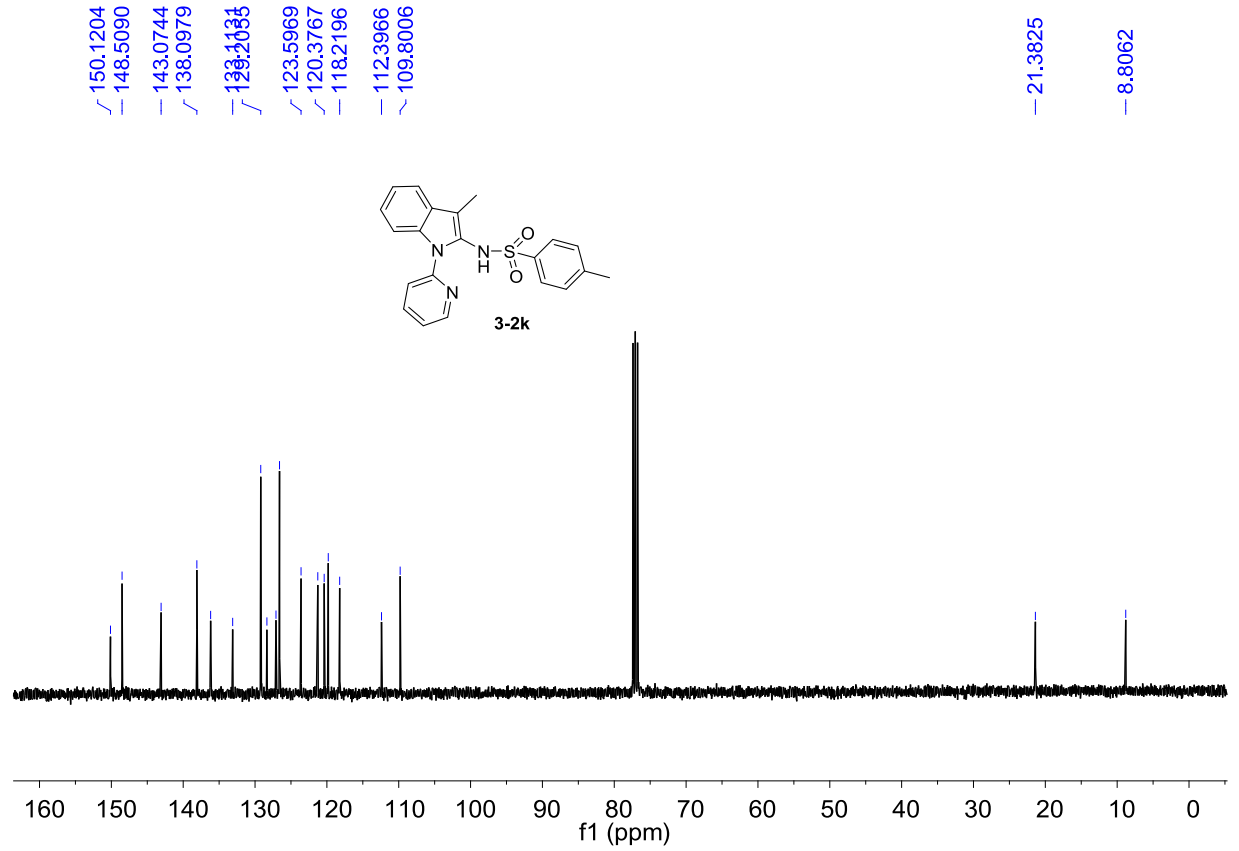
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2j** (using $CDCl_3$ as solvent)



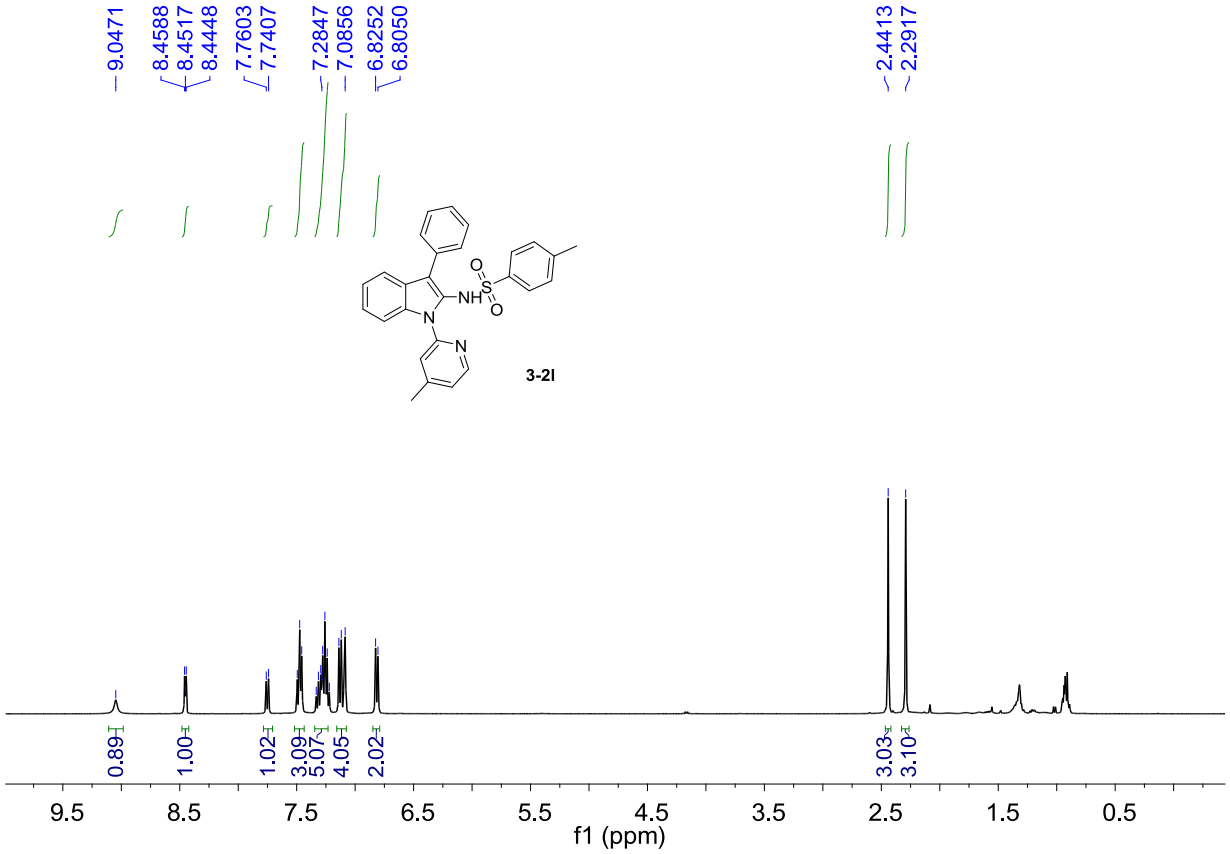


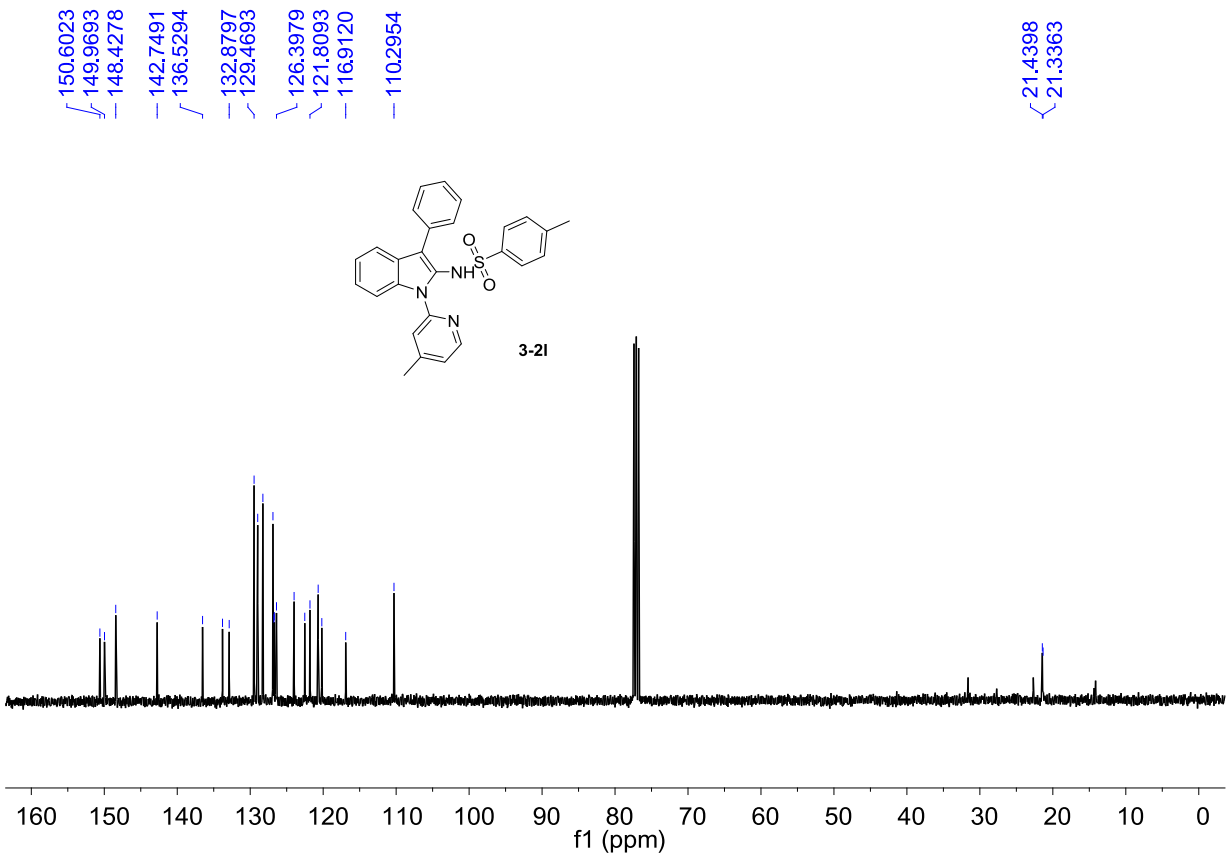
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2k** (using $CDCl_3$ as solvent)



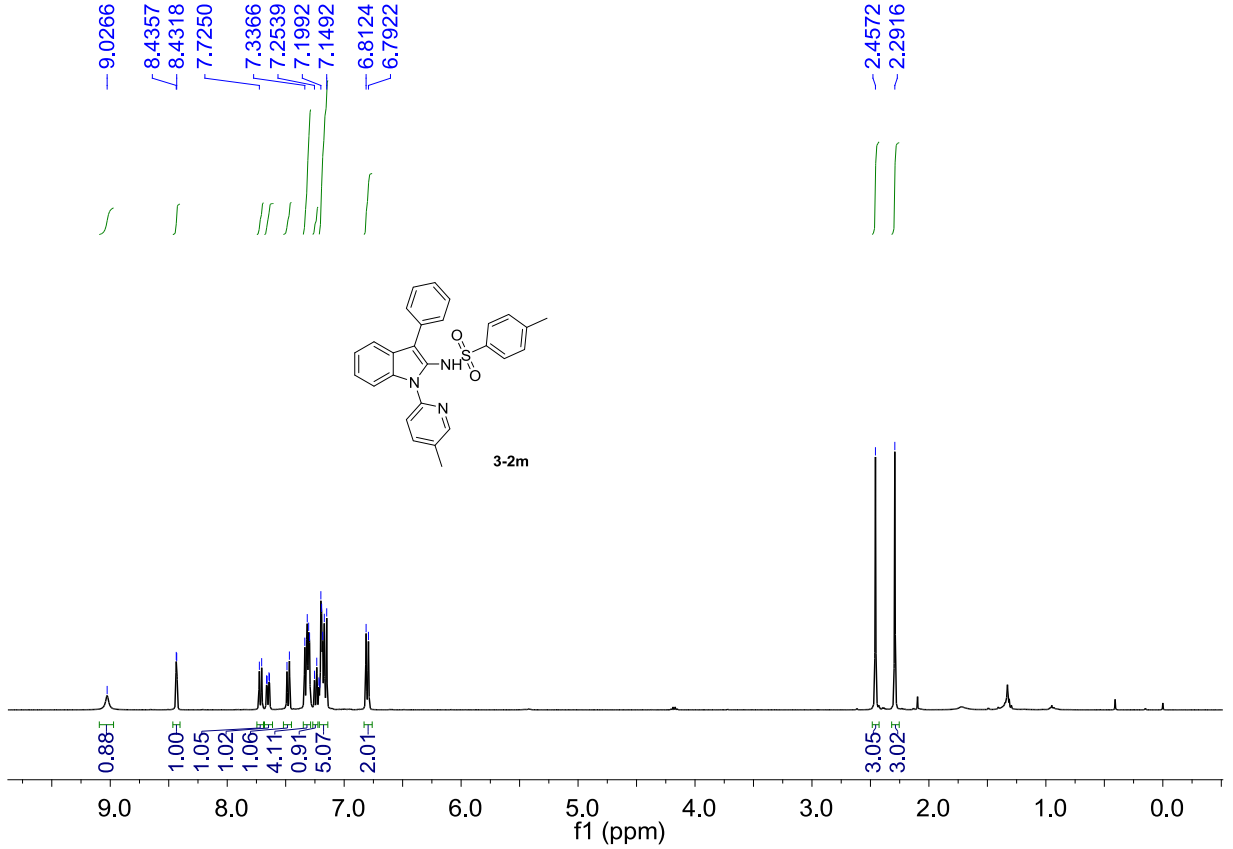


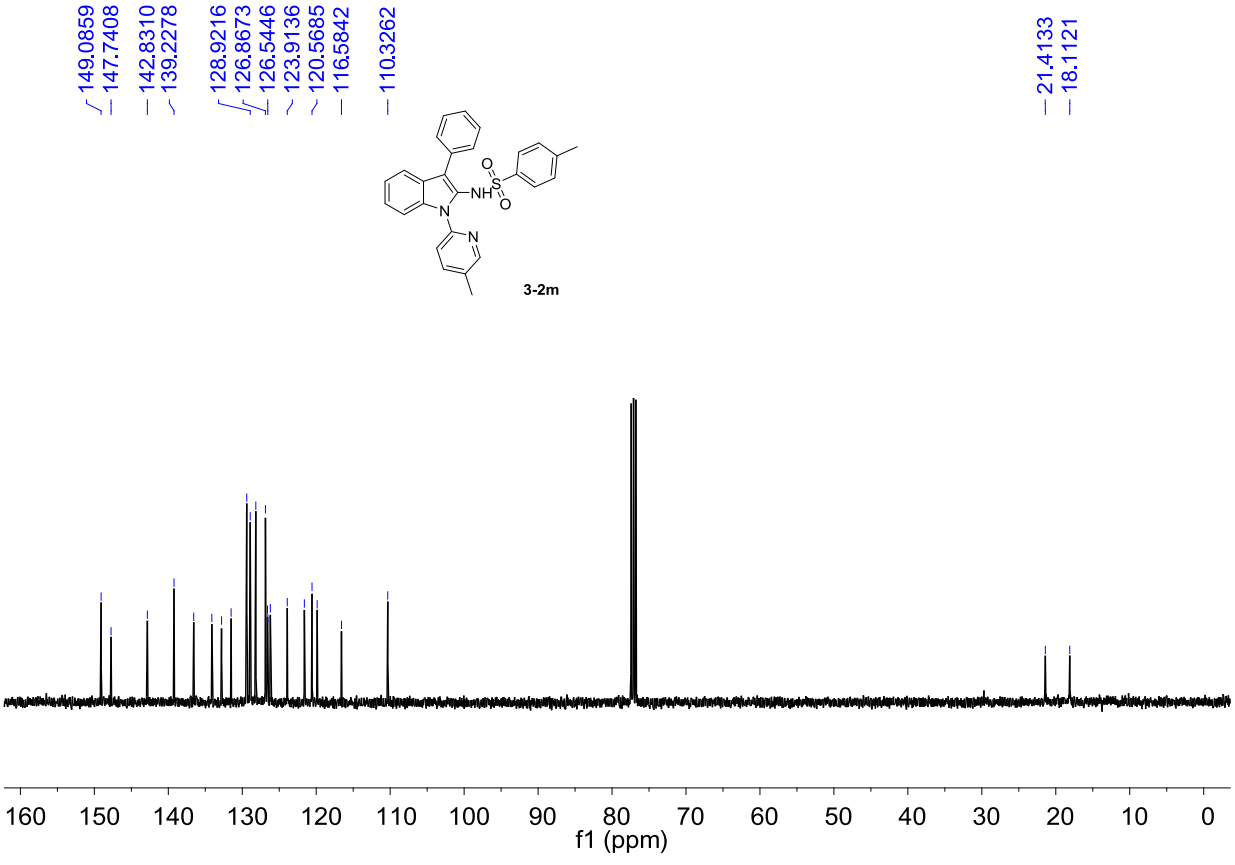
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2l** (using $CDCl_3$ as solvent)



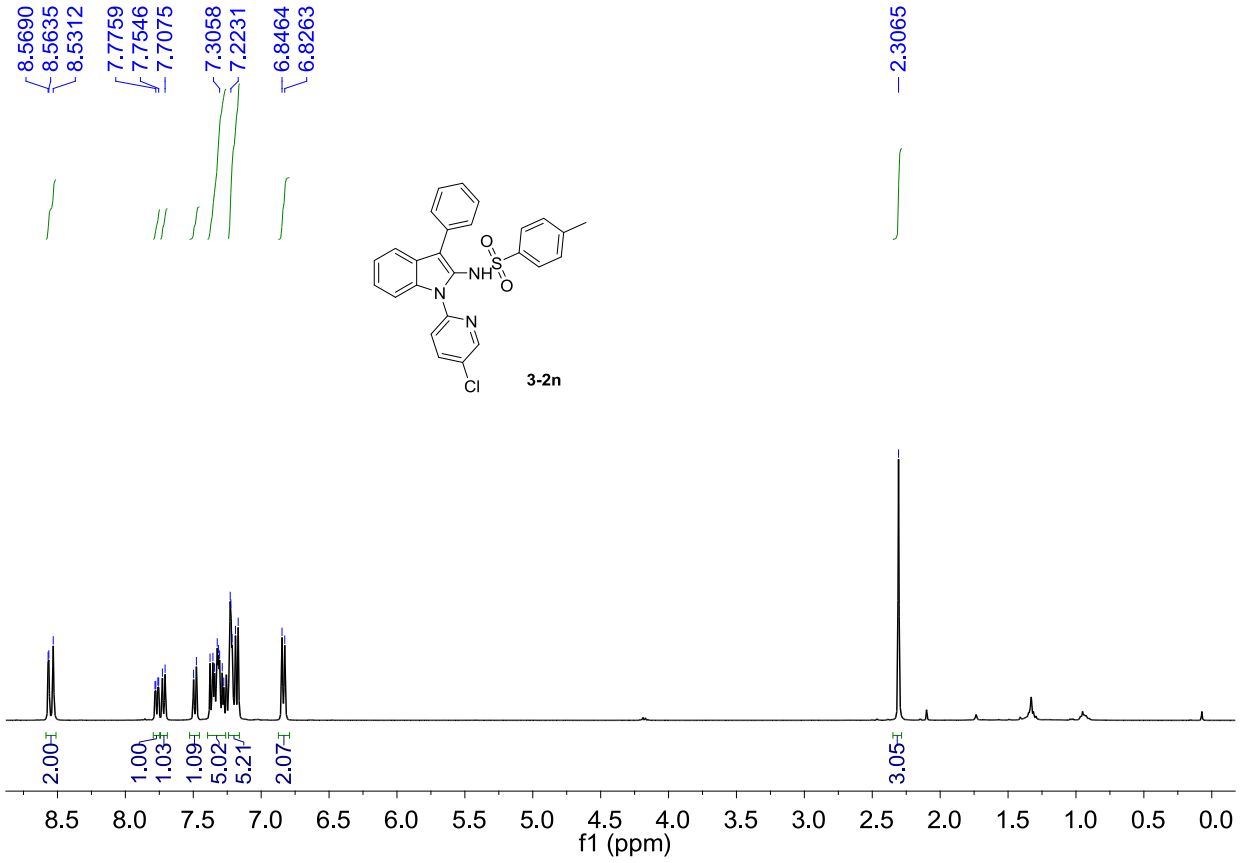


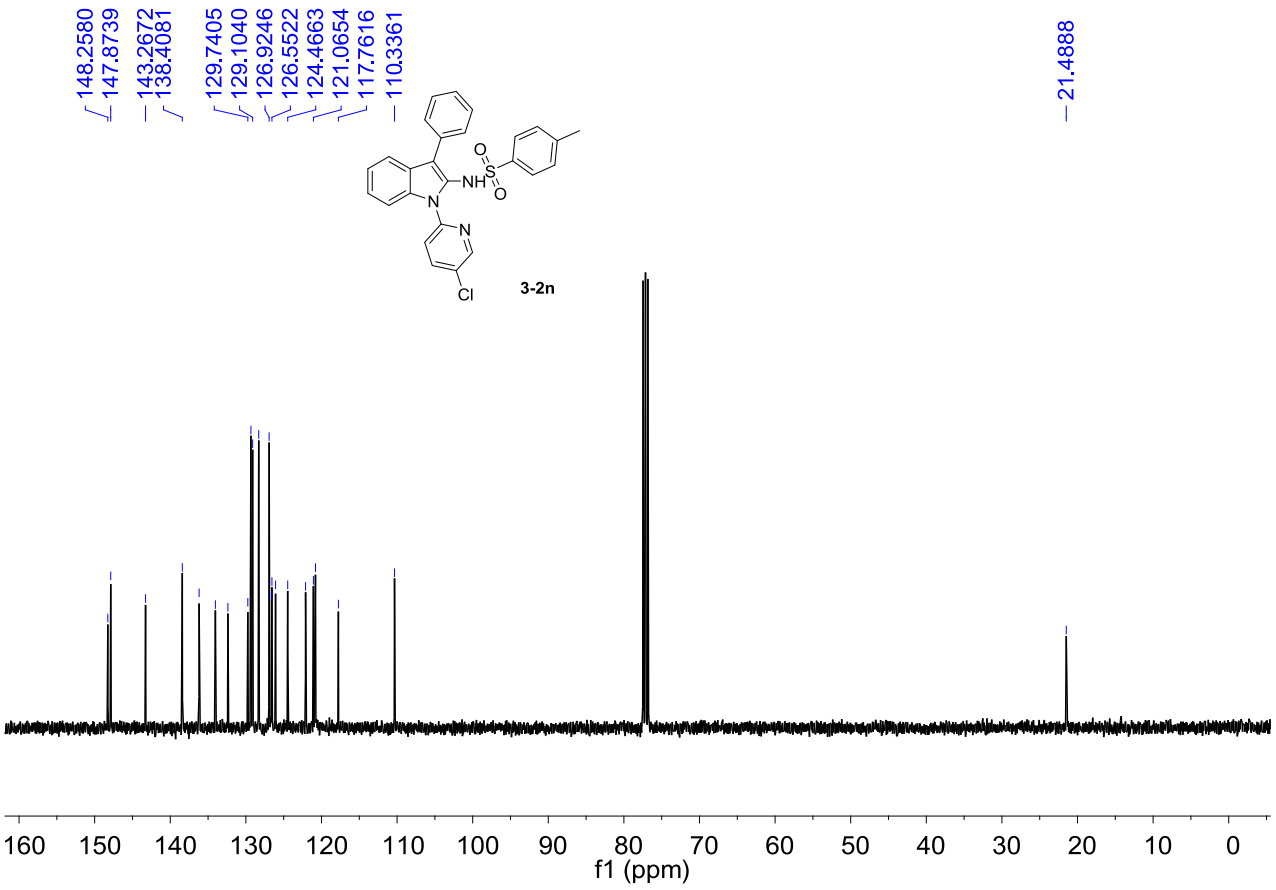
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2m** (using $CDCl_3$ as solvent)



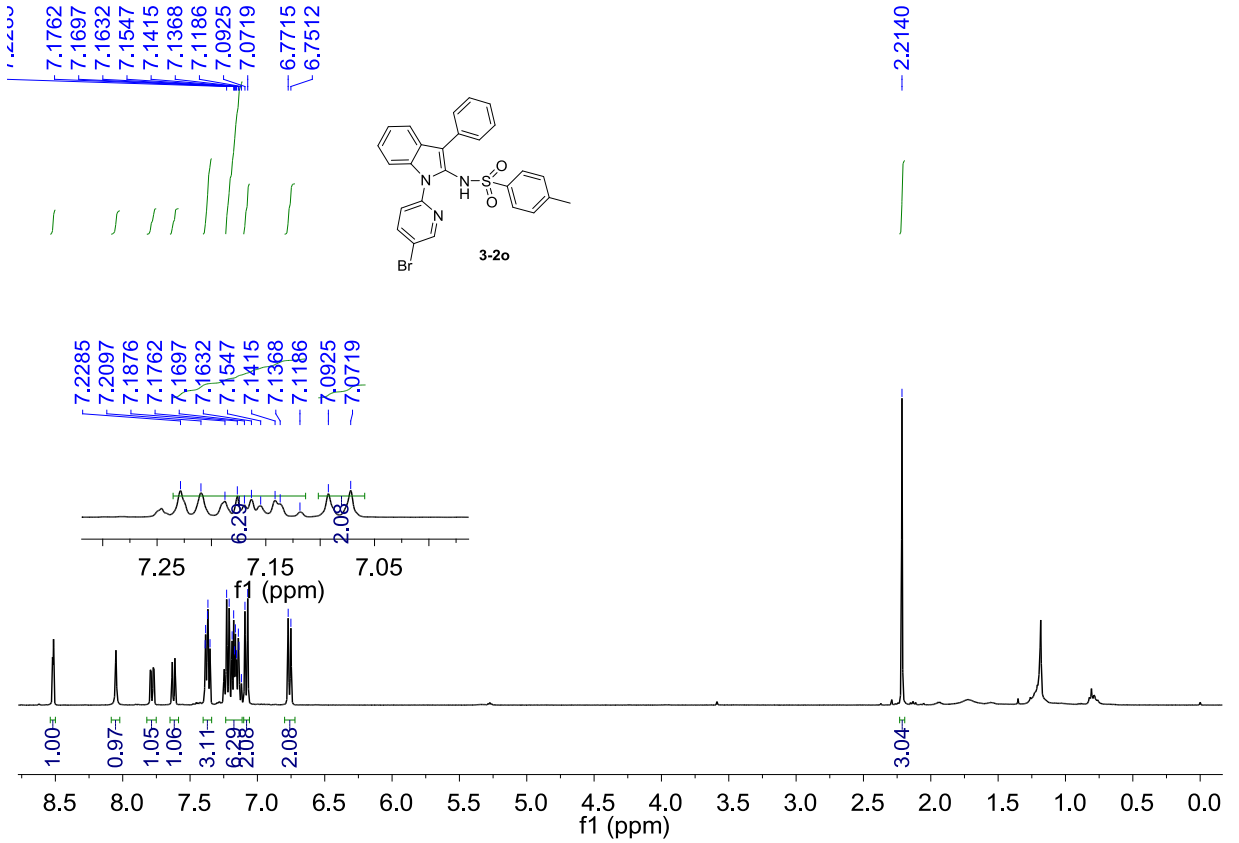


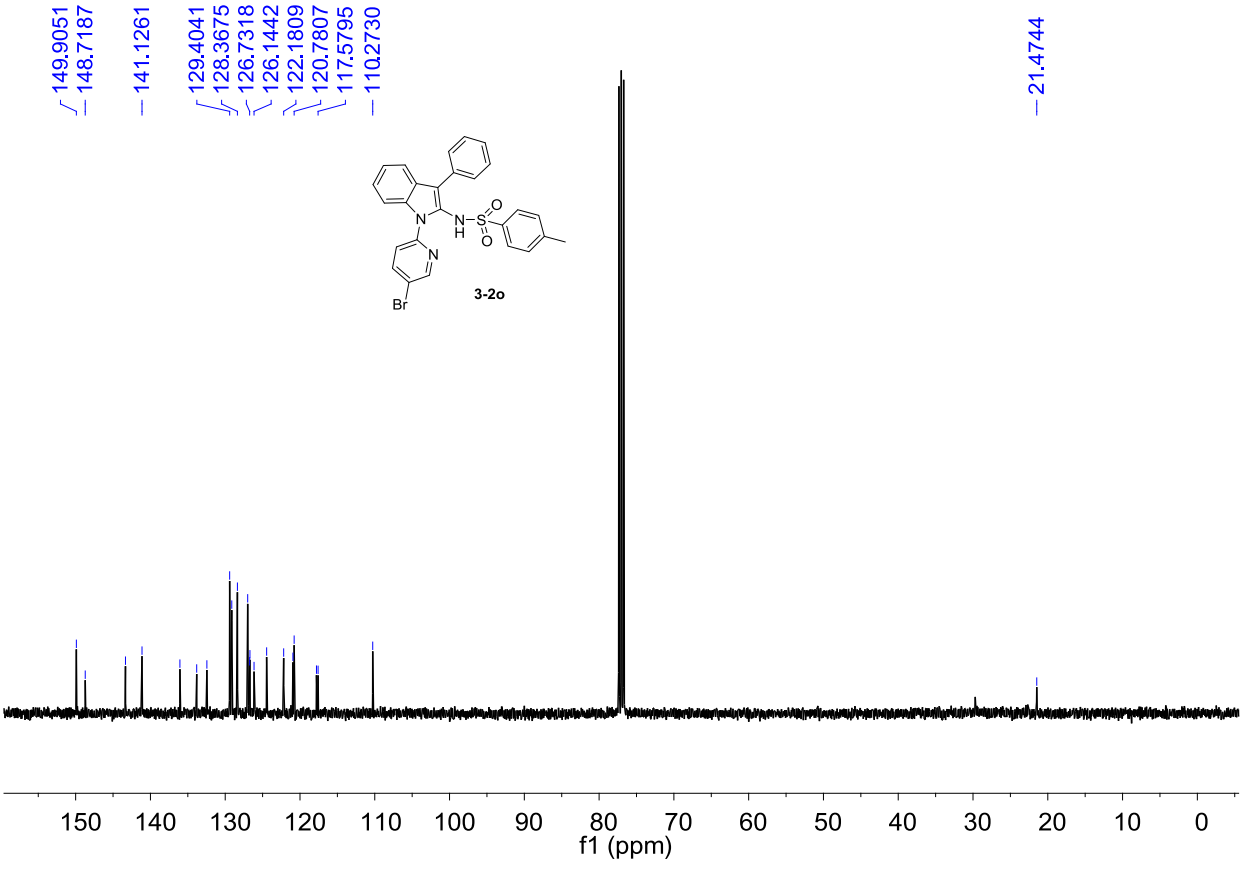
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2n** (using $CDCl_3$ as solvent)



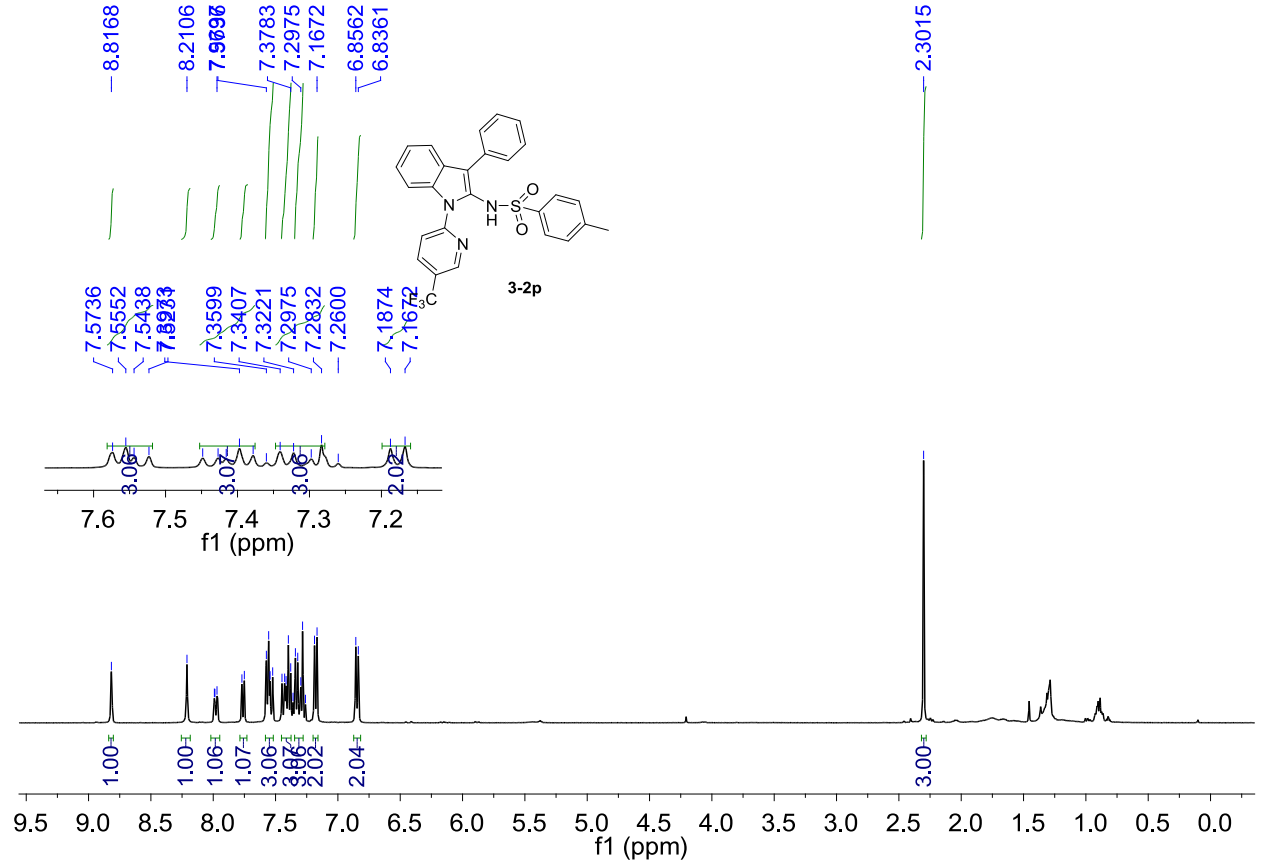


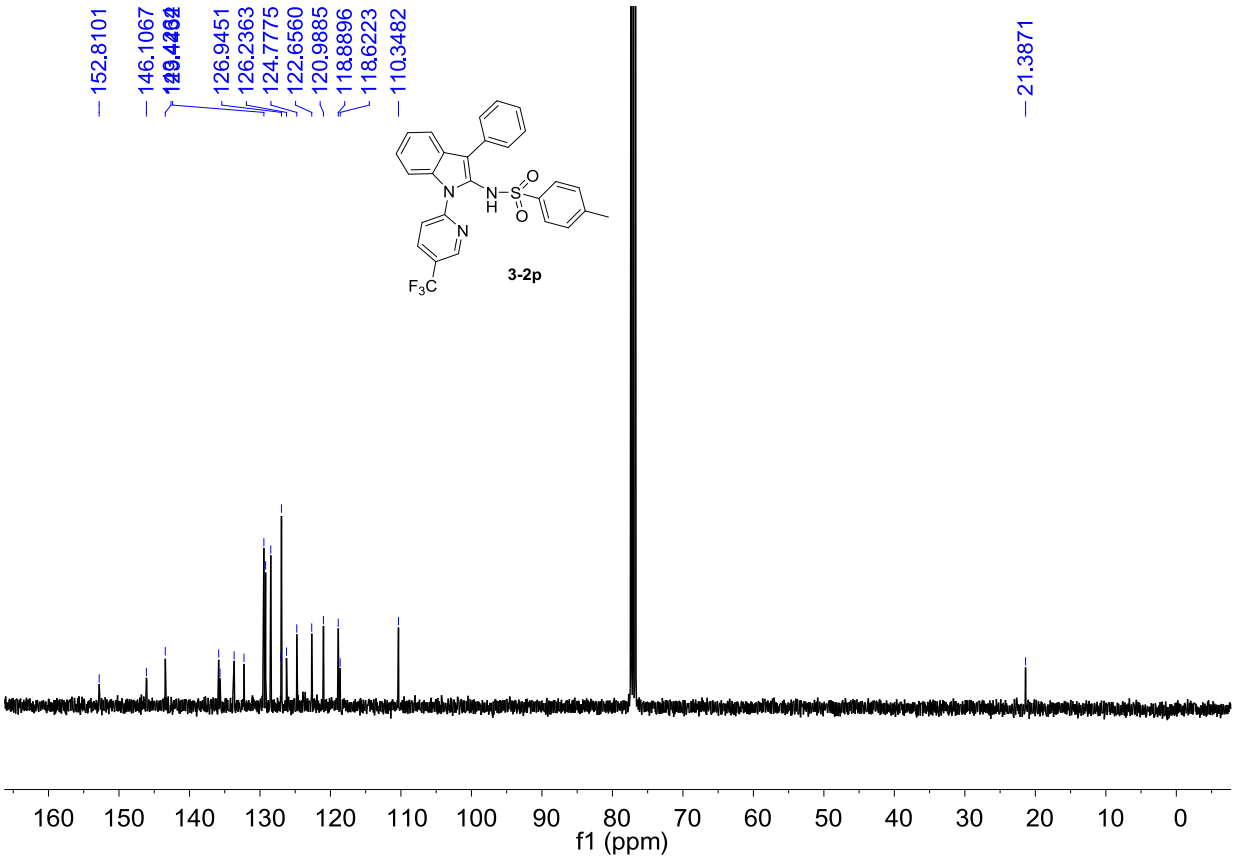
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2o** (using $CDCl_3$ as solvent)



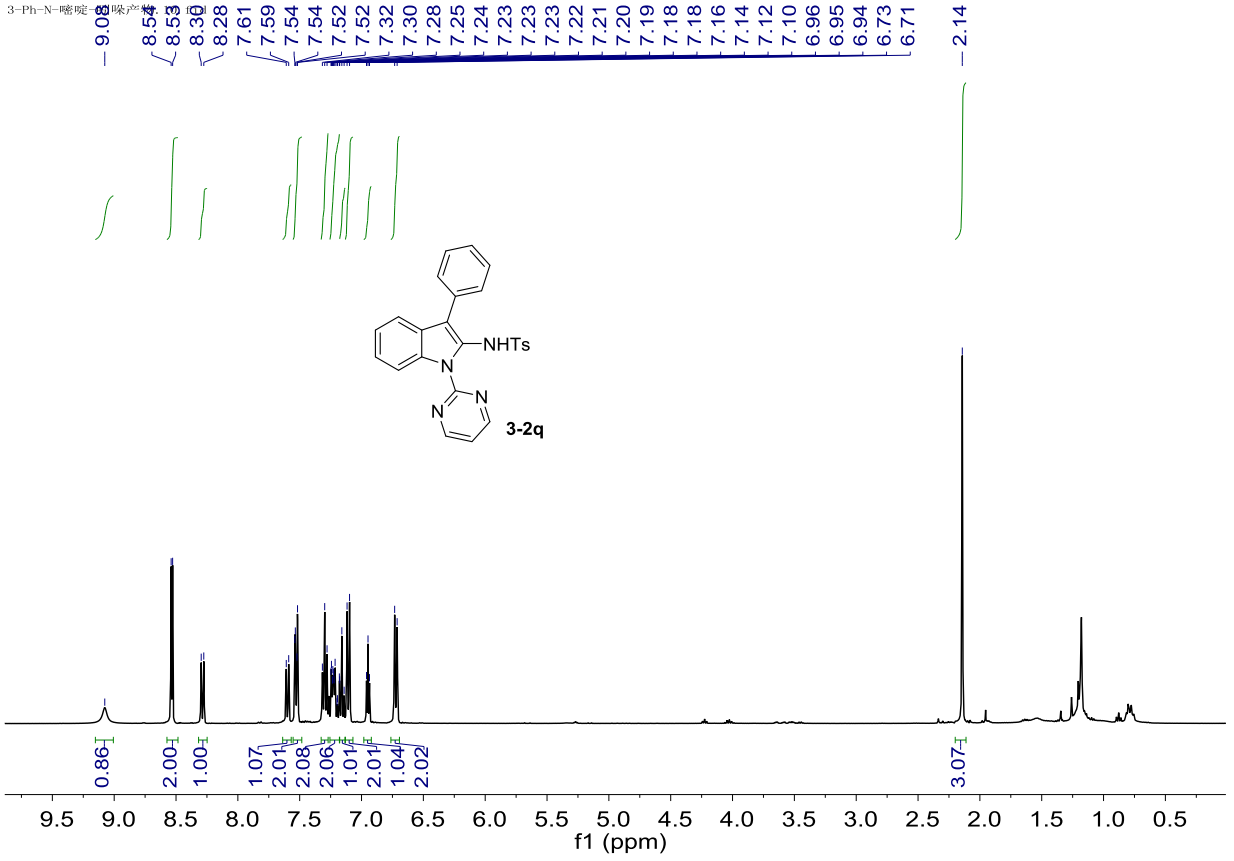


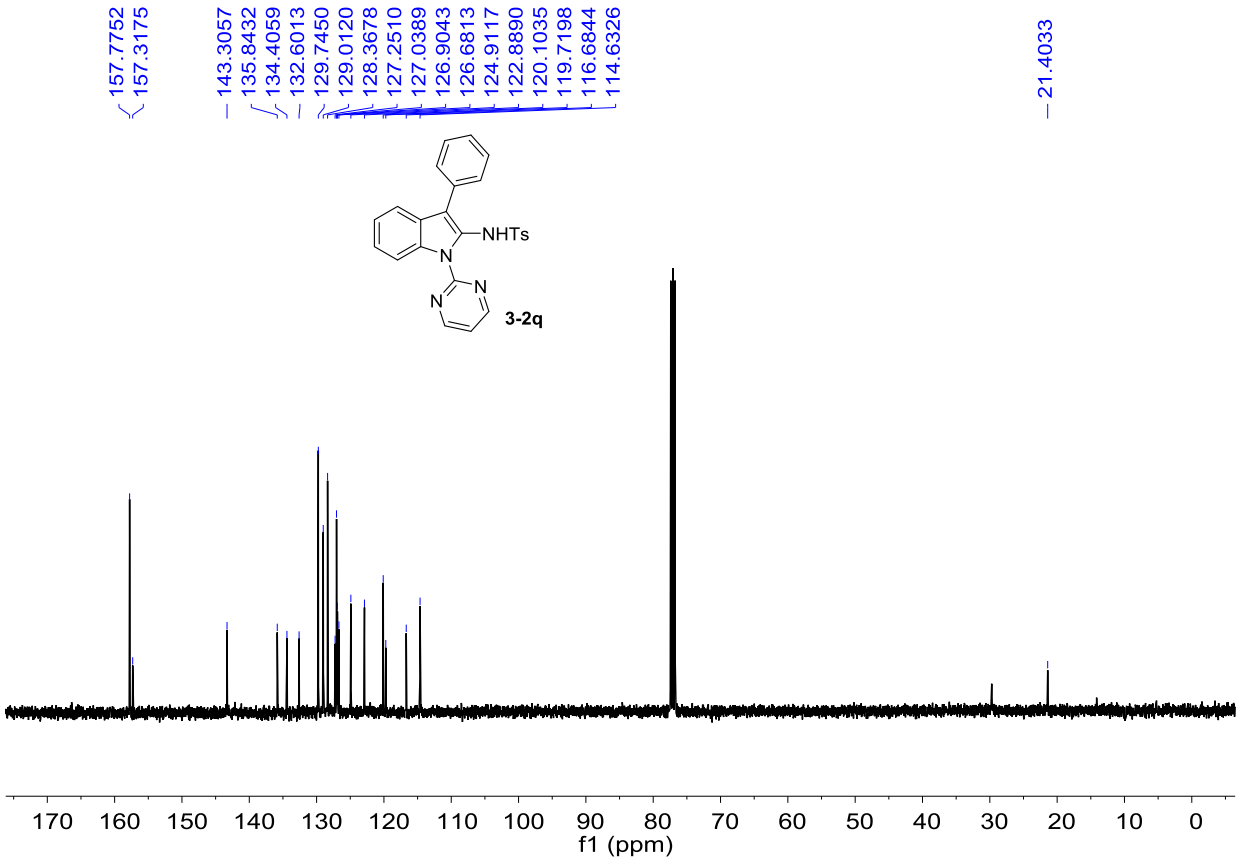
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2p** (using $CDCl_3$ as solvent)



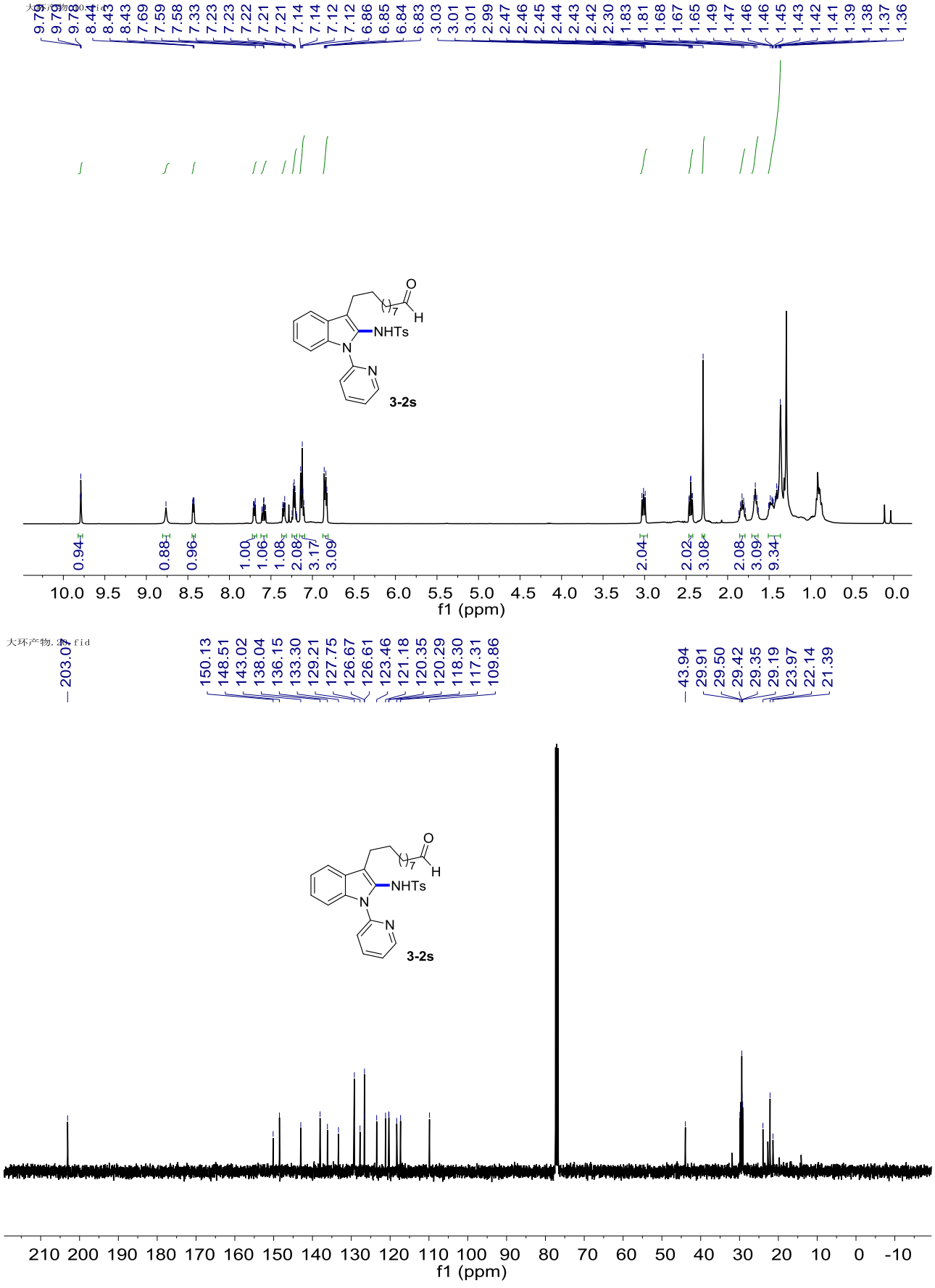


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2q** (using $CDCl_3$ as solvent)

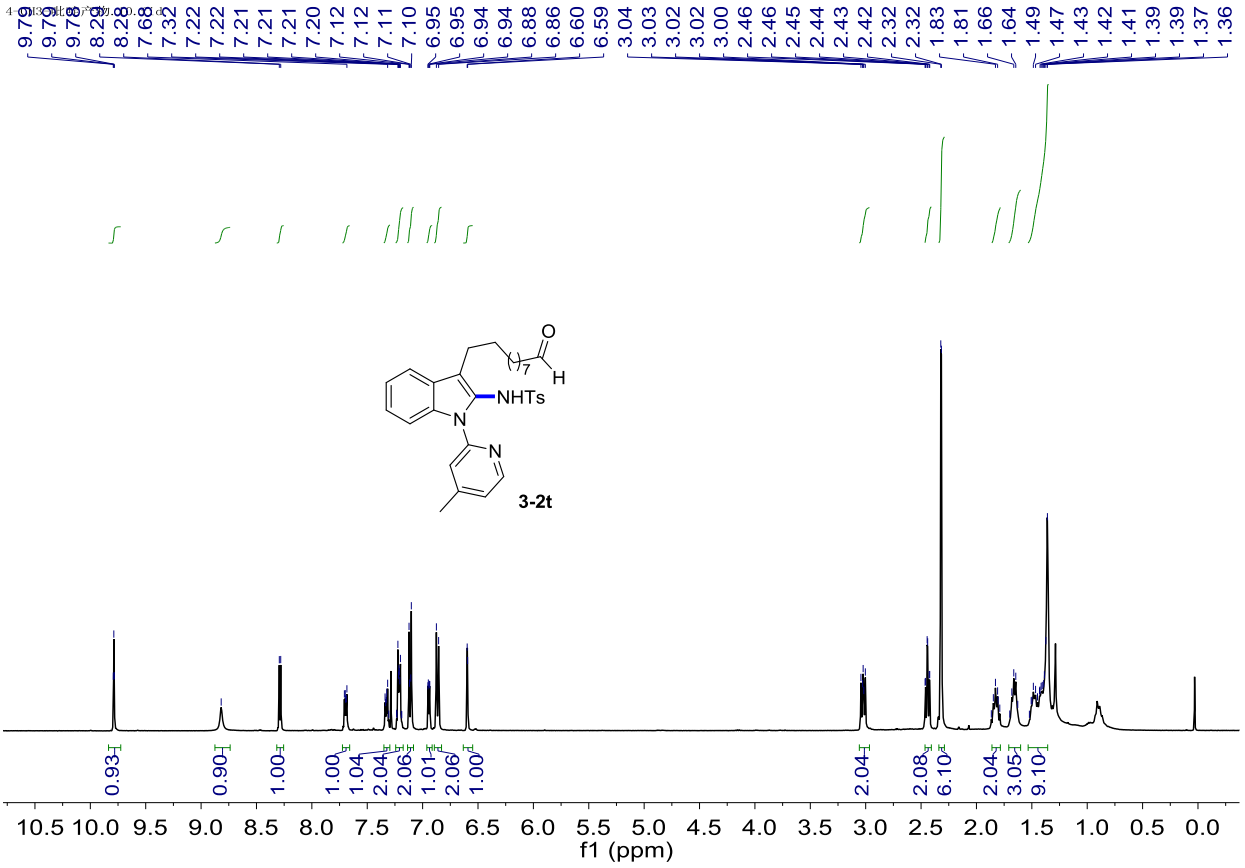


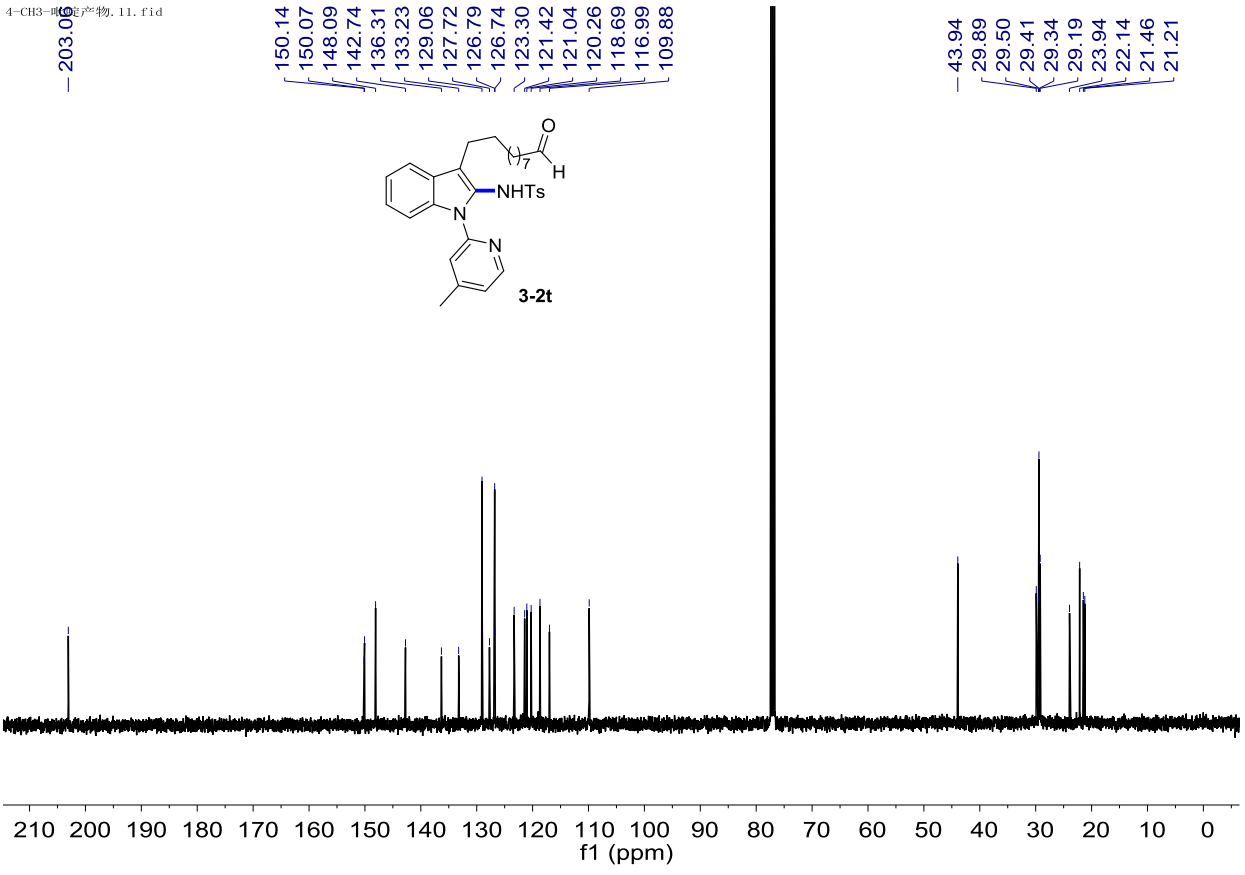


The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2s** (using $CDCl_3$ as solvent)

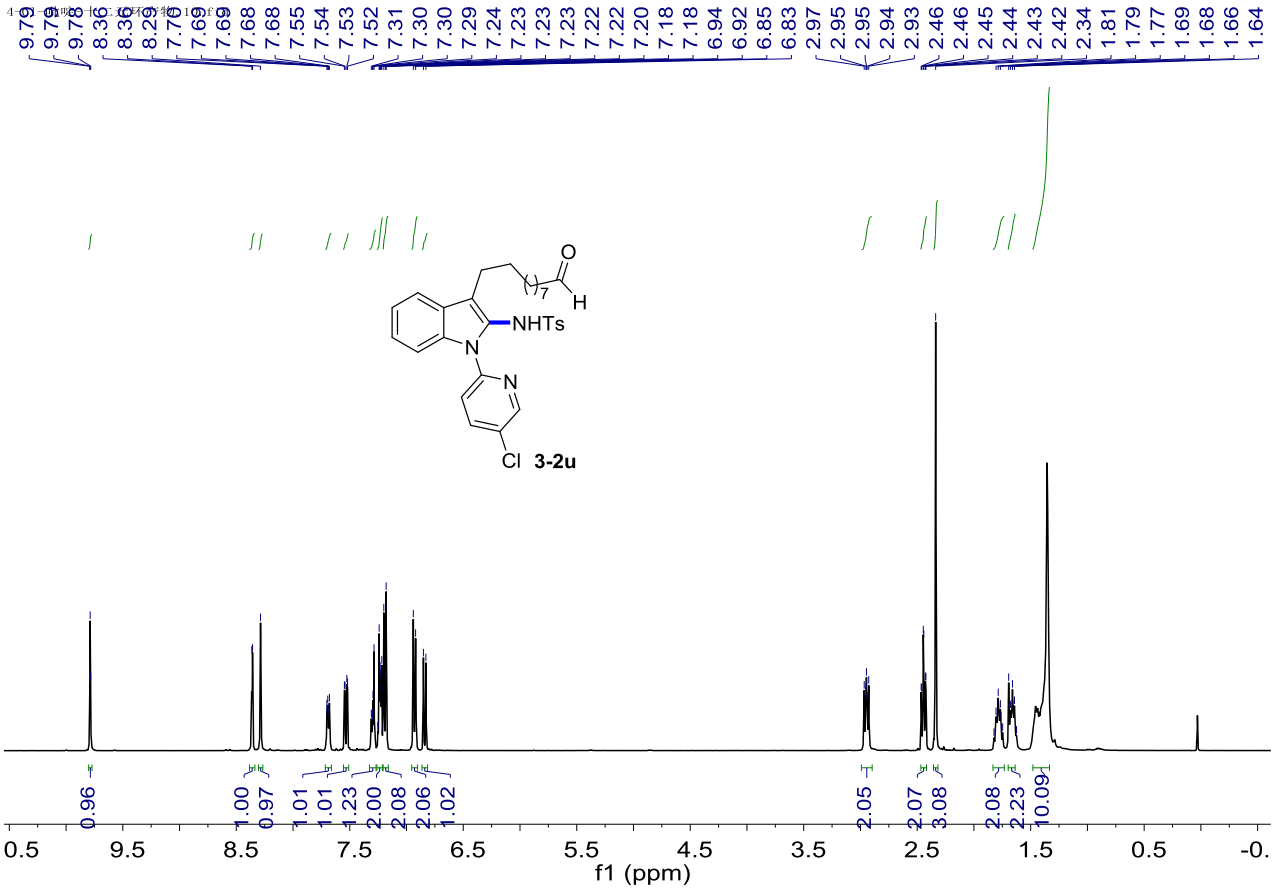


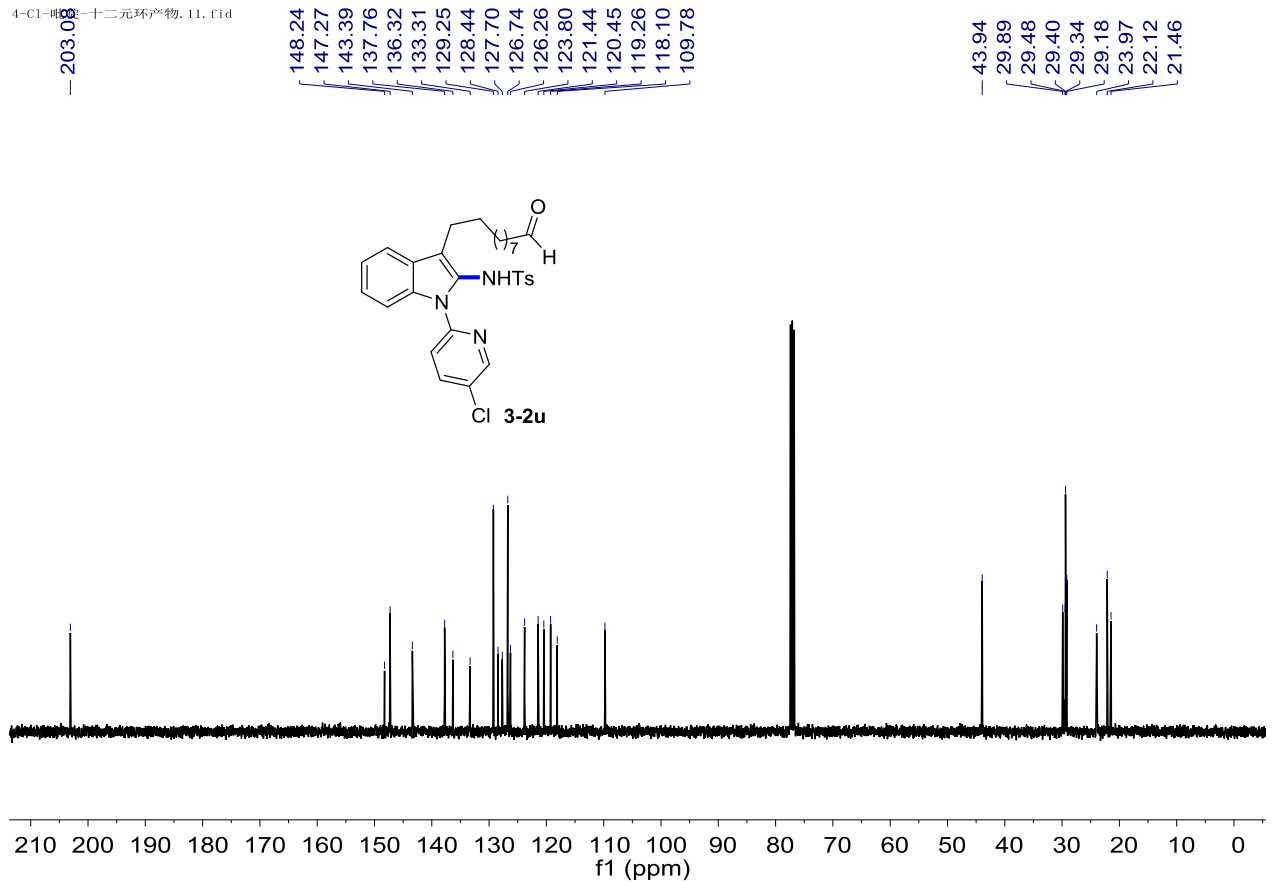
The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2t** (using $CDCl_3$ as solvent)





The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2u** (using $CDCl_3$ as solvent)





The ^{1}H NMR (400 MHz) and ^{13}C NMR (101 MHz) spectrum for **3-2v** (using $CDCl_3$ as solvent)