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(Supporting Information)

Gold- and Indium-Catalyzed Synthesis of 3- and 6-Sulfonylindoles from *ortho*-Alkynyl-*N*-Sulfonylanilines. Consecutive C-N and C-S Bond Formation through Intramolecular Aminosulfonylation

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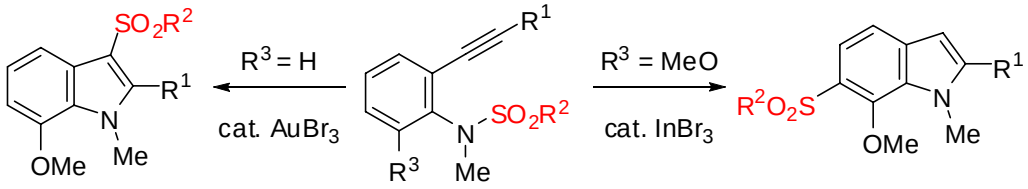

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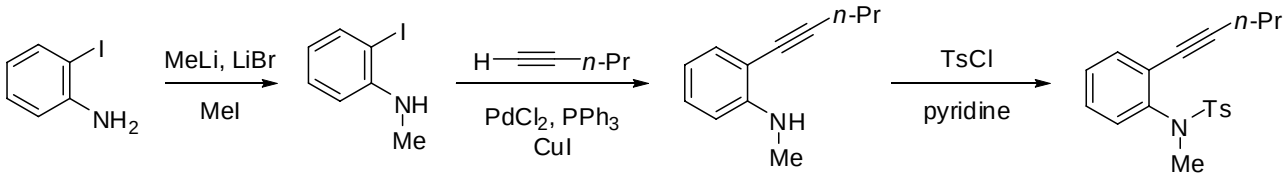
General. ^{1}H NMR and ^{13}C NMR spectra were recorded on JEOL JNM AL 400 (400 MHz), JEOL JNM α-500 (500 MHz), and BRUKER AVANCE-600 (600 MHz) spectrometers. ^{1}H NMR spectra are reported as follows: chemical shift in ppm (δ) relative to the chemical shift of $CHCl_3$ at 7.24 ppm integration, multiplicities (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet and br = broadened), and coupling constants (Hz). ^{13}C NMR spectra were reported in ppm (δ) relative to the central line of triplet for $CDCl_3$ at 77 ppm. IR spectra were recorded on a JASCO FT/IR-460 *Plus* spectrometer; absorptions are reported in cm^{-1}. High-resolution mass spectra were obtained on a HITACHI M-2500s spectrometer. X-ray crystallographic data was obtained by Rigaku / MSC Saturn. Cu-CCD device. Column chromatography was carried out employing Silica gel 60 N (spherical, neutral, 40~100 μm, KANTO Chemical Co.) or Florisil gel (75~150 μm, KANTO Chemical Co.). Analytical thin-layer chromatography (TLC) was performed on 0.2 mm precoated plate Kieselgel 60 F_{254} (Merck). All manipulations were conducted under an argon atmosphere using standard Schlenk techniques. $PdCl_2$ was purchased from Kawaken fine chemicals and $AuBr_3$, $InBr_3$, and $PtCl_2$ were purchased from Aldrich. These metal salts were used as purchased. Toluene (WAKO) was stored with MS4Å under Ar atmosphere. All the alkynylsulfonanilides **1** were prepared according to the following procedures. All other compounds were commercially available.

Representative procedure for the preparation of 2-alkynylsulfonanilides (1a-o)

Synthesis of *N*-methyl-2-(1-pentynyl)-*N*-tosylaniline **1a**



1a

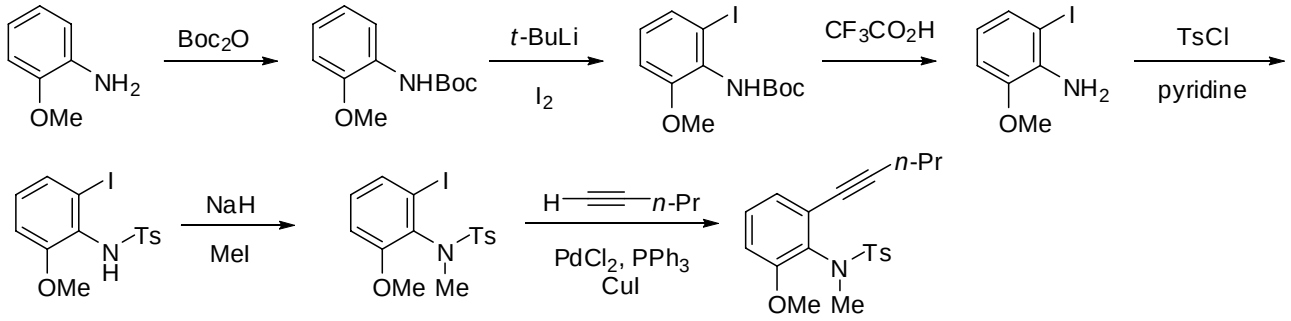
(1) To a solution of 2-iodoaniline (50.0 g, 228 mmol) in THF (400 mL) at -78 °C was added MeLi ·LiBr complex (1.5M in diethyl ether solution, 165 mL, 248 mmol) dropwise over 1 hour and the mixture was stirred for 30 minutes. Iodomethane (18.0 mL, 289 mmol) in THF (40.0 mL) was added dropwise to the reaction mixture. The reaction mixture was stirred for 1 hour. The resulting solution was allowed to be warmed to room temperature and stirred for 2 hours. After consumption of the starting material monitored by TLC, water was added to the reaction solution. The mixture was neutralized using 2N hydrochloric acid and extracted with diethyl ether. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude mixture was purified by silica gel column chromatography using hexane/ethyl acetate (10/1) as eluent to give *N*-methyl-2-iodoaniline (45.9 g, 86 %).

(2) To a solution of $PdCl_2$ (0.44 g, 2.5 mmol), CuI (0.68 g, 3.6 mmol) and PPh_3 (1.31 g, 5.0 mmol) in MeCN (100 mL) were successively added a solution of *N*-methyl-2-iodoaniline (23.3 g, 100 mmol) in MeCN (100 mL), Et_3N (41.6 mL, 300 mmol), and 1-pentyne (14.8 mL, 150 mmol) under argon atmosphere. The resulting solution was stirred overnight at room temperature. After the reaction was completed, saturated aqueous NH_4Cl/NH_3 was added and the mixture was extracted with diethyl ether. The combined organic layers were washed with saturated aqueous NH_4Cl and brine and dried over Na_2SO_4. After removing solvent *in vacuo*, the crude product was purified by silica gel column chromatography using hexane/ethyl acetate (15/1~4/1) as eluent to afford *N*-methyl-2-(1-pentynyl)aniline (15.3 g, 88 %).

(3) To a solution of *N*-methyl-2-(1-pentynyl)aniline (0.87 g, 5.0 mmol) in pyridine (8.0 mL) was added toluenesulfonyl chloride (1.05 g, 5.5 mmol). The reaction mixture was stirred at room temperature and monitored by TLC. After complete consumption of the starting material, saturated aqueous $CuSO_4$ was added and the resulting mixture was extracted with ethyl acetate. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude mixture was purified by silica gel column chromatography using hexane/ethyl acetate (10/1) as eluent to give *N*-methyl-2-(1-pentynyl)-*N*-tosylaniline **1a** (1.55 g, 95 %).

Representative procedure for the preparation of 2-alkynyl-6-methoxysulfonanilides (1p-1x)

Synthesis of 2-methoxy-*N*-methyl-6-(1-pentynyl)-*N*-tosylaniline **1p**



1p

(1) Boc_2O (30.6 mL, 132 mmol) was added to a solution of *o*-anisidine (14.8 g, 120 mmol) in THF (180 mL) under argon atmosphere and the reaction was heated to reflux overnight. The solvent was removed *in vacuo*, then water (120 mL) was added to the residue. The mixture was extracted with diethyl ether. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude mixture was purified by silica gel column chromatography using hexane/ethyl acetate (10/1) as eluent to give *N*-Boc-2-methoxyaniline quantitatively.

(2) A 1000 mL-round bottom flask and syringes were carefully flame-dried for the following procedure. To a solution of *N*-Boc-2-methoxyaniline (13.4g, 60mmol) in diethyl ether (72mL) was added ^{t}BuLi (1.6 M solution in pentane, 91.2 mL, 132 mmol) dropwise at -20 °C under argon atmosphere and the mixture was stirred for 3 hours at the same temperature. The reaction mixture was then cooled down to -90 °C, and a solution of iodine (19.0 g, 74.9 mmol) in diethyl ether (160 mL) was added dropwise. After adding iodine, the reaction mixture was allowed to be warmed to room temperature and stirred overnight. To the reaction mixture was added saturated aqueous $Na_2S_2O_3$, and extracted with diethyl ether. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude mixture was purified by silica gel column chromatography using hexane/ethyl acetate (50/1~20/1) as eluent to give *N*-Boc-2-iodo-6-methoxyaniline (9.9 g, 47 %).

(3) To a solution of *N*-Boc-2-iodo-6-methoxyaniline (3.5 g, 10 mmol) in CH_2Cl_2 (50 mL) was added CF_3COOH (9.4 mL, 127 mmol) dropwise at 0 °C under argon atmosphere and the mixture was stirred for 3 hours at room temperature. After consumption of the starting material monitored by TLC, water was added to the reaction solution. The mixture was neutralized using 3N aqueous NaOH and extracted with ethyl acetate. The combined organic layers were washed with brine and dried over Na_2SO_4. The solvent was removed in vacuo. The crude 2-iodo-6-methoxyaniline was used without further purification in the following step.

(4) Toluenesulfonyl chloride (2.39 g, 1.25 mmol) was added to a solution of 2-iodo-6-methoxyaniline (crude, ca. 10 mmol) in pyridine (10 mL). The reaction mixture was stirred at room temperature and the reaction progress monitored by TLC. After complete consumption of the starting material, saturated aqueous $CuSO_4$ was added and the resulting mixture was extracted with ethyl acetate. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude material was purified by silica gel column chromatography using hexane/ethyl acetate (10/1~4/1) as eluent to give 2-iodo-6-methoxy-*N*-methyl-*N*-tosylaniline (2.27 g, 56 % over two steps).

(5) To a solution of 2-iodo-6-methoxy-*N*-tosylaniline (2.07 g, 5.0 mmol) in DMF (10 mL) was added iodomethane (0.42 mL, 6.25 mmol) dropwise at 0 °C, and then NaH (60% in mineral oil, 0.25 g, 6.25 mmol) was added in several portions. The reaction mixture was stirred for 5 hours at room temperature and then poured onto crushed ice. The resulting reaction mixture was extracted with diethyl ether. The combined organic layers were washed with brine and dried over Na_2SO_4. The crude mixture was purified by silica gel column chromatography using hexane/ethyl acetate (3/1) as eluent to give 2-iodo-6-methoxy- *N*-methyl-*N*-tosylaniline (2.0 g, 96 %).

(6) To a solution of 2-iodo-6-methoxy-*N*-methyl-*N*-tosylaniline (1.67 g, 4.0 mmol), $Pd(PPh_3)_4$ (0.19 g, 0.16 mmol) and CuI (0.06 g, 0.32 mmol) in DMF (1.0 mL) were successively added 1-pentyne (0.59mL, 6.0mmol) and Et_2NH (4.0mL). The resulting solution was stirred at room

temperature. After the reaction was complete, saturated aqueous NH_4Cl/NH_3 was added and the product was extracted with ethyl acetate. The combined organic layers were washed with brine and dried over Na_2SO_4. The concentrated crude product was purified by silica gel column chromatography using hexane/ethyl acetate (4/1~2/1) as eluent to afford 2-methoxy-*N*-methyl-6-(1-pentynyl)-*N*-tosylaniline **1p** (1.87 g, 82 %).

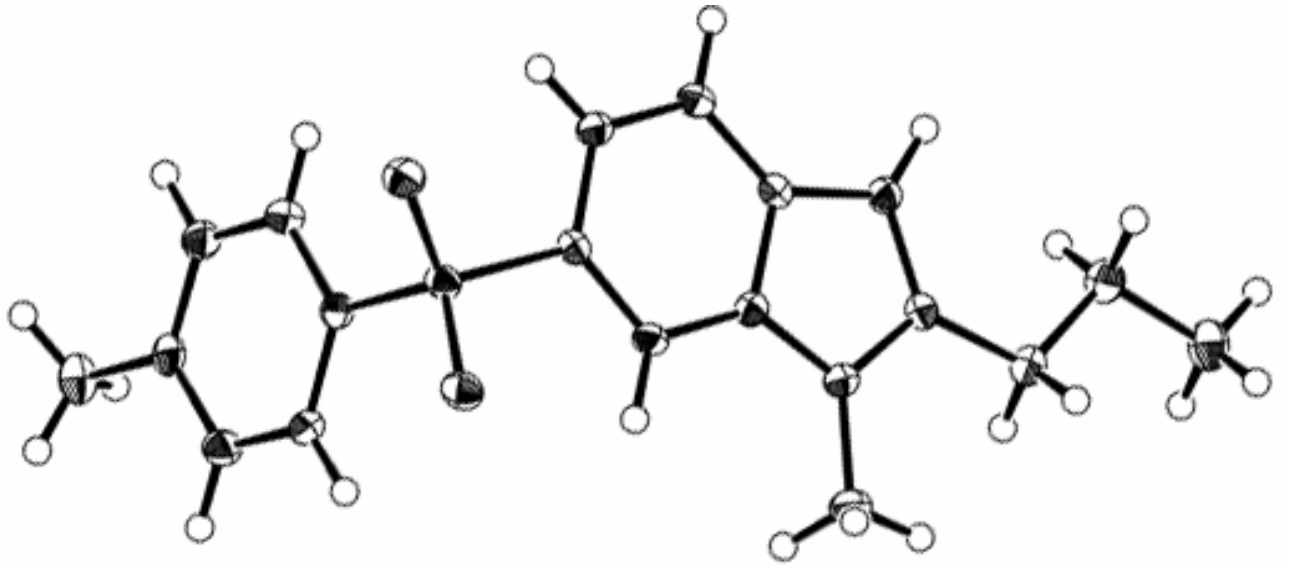
Typical procedure for the $AuBr_3$-catalyzed cyclization of 1a-o.

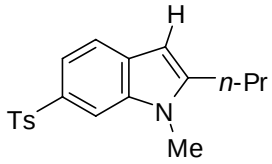
To a mixture of $AuBr_3$ (10.9 mg, 0.025 mmol) and *N*-mesyl-*N*-methyl-2-(1-pentynyl)aniline **1b** (62.8 mg, 0.25 mmol) was added toluene (0.5 ml, 0.5 M) at room temperature and the mixture was warmed immediately to 80 °C. After complete consumption of the starting material monitored by TLC, the reaction mixture was cooled to room temperature and filtered through a short SiO_2 pad and the filtrate was concentrated. The residue was purified by silica gel column chromatography using hexane/ethyl acetate as eluent to afford 3-mesyl-1-methyl-2-propylindole **2b** (59.7mg, 95%).

Typical procedure for the $InBr_3$-catalyzed cyclization of 1p-x

To a mixture of $InBr_3$ (4.4 mg, 0.0125 mmol) and 2-methoxy-*N*-methyl-6-(1-pentynyl) -*N*-tosylaniline **1p** (71.4 mg, 0.25 mmol) was added toluene (1.0 mL, 0.25 M) at room temperature and the mixture was warmed immediately to 80 °C. After complete consumption of the starting material was monitored by TLC, the reaction mixture was cooled to room temperature and purified by florisil column chromatography using hexane/ethyl acetate or toluene/ethyl acetate as eluent to afford 7-methoxy-1-methyl-2-propyl-6-tosylindole **3p** (59.0 mg, 83 %) and 7-methoxy-1-methyl-2-propyl-3-tosylindole **2p** (8.8 mg, 12 %). Further purification was performed using gel permeation chromatography LC-918 (Japan Analytical Industry Co.)

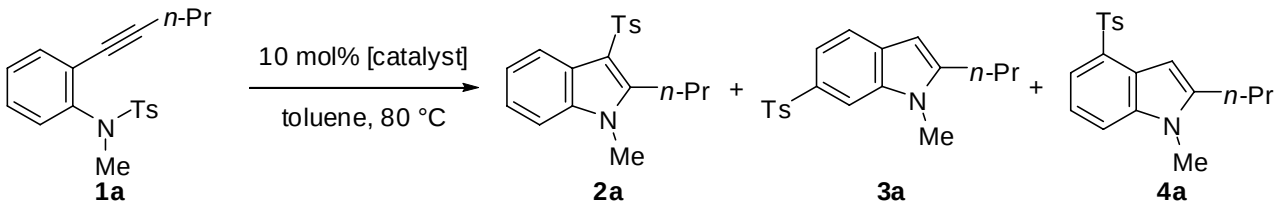
ORTEP drawing of 3a





3a

Table S1. Catalytic Activity of Metal Complexes in the Intramolecular Aminosulfonylation of *N*-Methyl-2-(1-pentynyl)-*N*-tosylaniline **1a** [a]

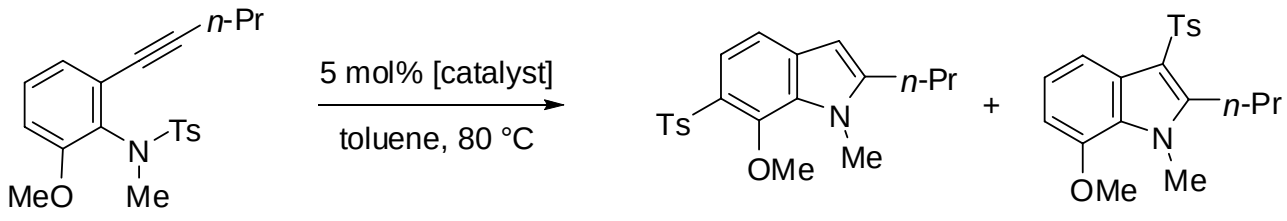


1a **2a** **3a** **4a**

Entry	Catalyst	Yield of **2a** / % [b]	Yield of **3a** / % [b]	Yield of **4a** / % [b]
1	$AuBr_3$	60	17	12
2	AgBr	0	0	0
3	$CuBr_2$	22	13	trace
4	$PtCl_2$	45	54	trace
5	$PdCl_2$	49	49	trace
6	$InBr_3$	39	9	55
7	$InCl_3$	29	14	38

[a] The reaction of **1a** (0.25 mmol) was carried out in the presence of 10 mol% of metal catalyst in toluene at 80 °C for 1 hour. [b] NMR yield using CH_2Cl_2 as an internal standard.

The reaction of 1p using various transition metals as a catalyst.



1p **3p** **2p**

$InBr_3$	95% yield (87:13)
$AuBr_3$	60% yield (72:28)
$PdBr_2$	97% yield (60:40)

1-Methyl-2-propyl-3-tosyl-1*H*-indole (2a). Colorless crystal; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.07 (3H, t, *J* = 7.6 Hz), 1.59-1.69 (2H, m), 2.36 (3H, s), 3.12-3.16 (2H, m), 3.69 (3H, s), 7.20-7.30 (5H, m), 7.85 (2H, d, *J* = 8.4 Hz), 8.09-8.14 (1H, m); ^{13}C NMR (149.40 MHz, $CDCl_3$) δ 14.23, 21.43, 23.10, 26.85, 29.92, 109.47, 110.92, 120.01, 122.22, 122.81, 125.03, 126.14, 129.46, 136.33, 141.78, 142.86, 146.04; IR (neat) 3044-2872, 1471, 1287 (S=O, sulfonyl), 1139, 1081 cm^{-1}; Anal. Calcd for $C_{19}H_{21}NO_2S$: C, 69.69; H, 6.46; N, 4.28; S, 9.79. Found: C, 69.02; H, 6.47; N, 4.28; S, 9.78; HRMS (ESI) Calcd for $C_{19}H_{21}NO_2SNa$ (M + Na^+) 350.1185. Found 350.1184.

3-Mesyl-1-methyl-2-propyl-1*H*-indole (2b). Yellow solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 0.99 (3H, t, *J* = 7.1 Hz), 1.64 (2H, tq, *J* = 7.8, 7.3 Hz), 3.01 (3H, s), 3.05 (2H, t, *J* = 7.3 Hz), 7.16-7.27 (3H, m), 7.89 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.16, 23.36, 26.45, 29.97, 45.90, 109.59, 109.99, 119.45, 122.21, 122.91, 124.84, 136.23, 145.95; IR (KBr) 3003-2962, 1514, 1468, 1340, 1314 (S=O, sulfonyl), 1294, 1160, 1132, 1016 cm^{-1}; HRMS (ESI) Calcd for $C_{13}H_{17}NO_2SNa$ (M + Na^+) 308.0716. Found 308.0715.

2-Cyclohexyl-3-mesyl-1-methylindole (2c). White solid; ^{1}H NMR (594.17 MHz, $CDCl_3$) δ 1.33-1.50 (4H, m), 1.81-2.04 (7H, m), 3.10 (3H, s), 3.90 (3H, s), 7.25-7.34 (3H, m), 8.08 (1H, d, *J* = 7.9 Hz); ^{13}C NMR (149.40 MHz, $CDCl_3$) d 25.98, 26.47, 26.72, 30.36, 32.94, 46.31, 109.40, 110.21, 120.11, 122.25, 122.99, 125.06, 136.69, 149.08; IR (neat) 2917-2850, 1496, 1465, 1291, 1129, 1118, 1104, 975, 938 cm^{-1}; HRMS (ESI) Calcd for $C_{16}H_{21}NNaO_2S$ (M + Na^+) 314.1191. Found 314.1184.

2-*tert*-Butyl-3-mesyl-1-methylindole (2d). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.69 (9H, s), 3.15 (3H, s), 3.88 (3H, s), 7.14-7.26 (3H, m), 8.09 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 32.23, 35.36, 35.82, 46.02, 109.68, 113.40, 120.85, 122.15, 122.97, 126.46, 136.93, 152.33; IR (KBr) 3078-2928, 1481, 1470, 1308 (S=O, sulfonyl), 1286, 1147, 1113, 1024 cm^{-1}; HRMS (ESI) Calcd for $C_{14}H_{19}NO_2SNa$ (M + Na^+) 288.1029. Found 288.1028.

3-Mesyl-1-methyl-2-phenyl-1*H*-indole (2e). Yellow solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.91 (3H, s), 3.56 (3H, s), 7.32-7.44 (4H, m), 7.46-7.53 (4H, m), 8.17 (1H, d, *J* = 7.2 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 31.06, 45.68, 110.02, 112.47, 120.334, 122.54, 123.59, 124.81, 128.21, 128.81, 129.79, 130.61, 135.98, 143.90; IR (KBr) 3003-2853, 1607, 1581, 1467, 1319 (S=O, sulfonyl), 1142, 1105 cm^{-1}; HRMS (ESI) Calcd for $C_{16}H_{15}NO_2SNa$ (M + Na^+) 308.0716. Found 308.0715.

3-Mesyl-1-methyl-2-(*p*-tolyl)-1*H*-indole (2f). Yellow solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.44 (3H, s), 2.90 (3H, s), 3.56 (3H, s), 7.32-7.40 (7H, m), 8.17 (1H, d, *J* = 7.2 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.58, 31.04, 45.69, 109.98, 112.44, 120.40, 122.54, 123.54, 124.93, 125.78, 129.00, 130.52, 136.00, 139.92, 144.21; IR (KBr) 3072-3020, 1487, 1466, 1319 (S=O, sulfonyl), 1290, 1130, 1107 cm^{-1}; HRMS (ESI) Calcd for $C_{17}H_{17}NO_2SNa$ (M + Na^+) 322.0872. Found 322.0871.

3-mesyl-2-(4-methoxyphenyl)-1-methyl-1*H*-indole (2g). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.89 (3H, s), 3.56 (3H, s), 3.87 (3H, s), 7.03 (2H, d, *J* = 8.8 Hz) 7.31-7.39 (5H, m), 8.17 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 31.00, 45.54, 55.32, 109.97, 112.32, 113.73, 120.29, 120.56, 122.46, 123.45, 124.87, 132.04, 135.91, 143.95, 160.58; IR (KBr) 3071-2926, 1488, 1466, 1340, 1318 (S=O, sulfonyl), 1290, 1130, 1107 cm^{-1}; HRMS (ESI) Calcd for $C_{17}H_{17}NO_3SNa$ (M + Na^+) 338.0821. Found 338.0821.

3-Mesyl-1-methyl-2-{4-(trifluoromethyl)phenyl}-1*H*-indole (2h). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.93 (3H, s), 3.54 (3H, s), 7.32-7.42 (3H, m), 7.57 (2H, d, *J* = 8.1 Hz), 7.75 (2H, d, *J* = 8.0 Hz), 8.12 (1H, d, *J* = 7.6 Hz) ; ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 31.20, 45.86, 110.20, 113.07, 120.33, 122.36, 122.91, 124.11, 124.67, 125.14, 125.19, 131.25, 132.64, 136.29, 142.06; IR (KBr) 2928, 1468, 1325 (S=O, sulfonyl), 1302, 1072 cm^{-1}; HRMS (ESI) Calcd for $C_{17}H_{14}F_3NO_2SNa$ (M + Na^+) 376.0590. Found 376.0589.

3-Mesyl-1-methyl-1*H*-indole (2i). Yellow solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 3.13 (3H, s), 3.84 (3H, s), 7.29-7.39 (3H, m), 7.66 (1H, s), 7.91 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 33.62, 45.53, 110.33, 114.41, 119.40, 122.37, 123.63, 124.17, 133.34, 137.10; IR (KBr) 3121-2924, 1687, 1522, 1481, 1423, 1319 (S=O, sulfonyl), 1178, 1136, 1107 cm^{-1}; HRMS (ESI) Calcd for $C_{10}H_{11}NO_2SNa$ (M + Na^+) 232.0403. Found 232.0402.

1-Benzyl-3-mesyl-2-propylindole (2k). ^{1}H NMR (594.17 MHz, $CDCl_3$) δ 1.01 (3H, t, *J* = 7.4 Hz). 1.62 (2H, m), 3.13 (2H, m), 3.16 (3H, s), 5.39 (2H, s), 6.98 (2H, d, *J* = 6.7 Hz), 7.22-7.31 (6H, m), 8.09 (1H, d, *J* = 6.8 Hz); ^{13}C NMR (149.40 MHz, $CDCl_3$) δ 14.18, 23.68, 26.56, 45.84, 46.91, 110.42, 110.68, 119.60, 122.45, 123.27, 125.08, 125.78, 127.88, 129.02, 135.91, 136.05, 146.18; IR (neat) 3030-2850, 1746, 1512, 1453, 1412, 1289, 1131, 1114 cm^{-1}. HRMS (ESI) Calcd for $C_{19}H_{21}NNaO_2S$ (M + Na^+) 350.1191. Found 350.1185.

1-Isopropyl-3-mesyl-2-propylindole (2l). ^{1}H NMR (270.05 MHz, $CDCl_3$) δ 1.09 (3H, t, *J* = 7.3 Hz), 1.69 (6H, d, *J* = 7.0 Hz), 1.61-1.77 (2H, m), 3.11 (3H, s), 3.13-3.20 (2H, m), 4.72 (1H, m), 7.21-7.28 (2H, m), 7.56-7.62 (1H, m), 7.99-8.06 (1H, m); ^{13}C NMR δ (67.80 MHz, $CDCl_3$) 14.22, 21.25, 23.73, 26.59, 45.82, 47.66, 109.61, 112.46, 118.99, 119.77, 121.56, 122.20, 125.87, 133.74, 145.07; IR (neat) 2972-2871, 1514, 1456, 1405, 1296, 1136, 1112 cm^{-1}. HRMS (ESI) Calcd for $C_{15}H_{21}NNaO_2S$ (M + Na^+) 302.1191. Found 302.1185.

3-Benzenesulfonyl-1-methyl-2-propyl-1*H*-indole (2m). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.07 (3H, t, *J* = 7.2 Hz), 1.60-1.68 (2H, m), 3.12-3.17 (2H, m), 3.70 (3H, s), 7.25-7.31 (3H, m), 7.40-7.49 (3H, m), 7.96-7.99 (2H, m), 8.11-8.15 (1H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.30, 23.16, 26.95, 30.02, 109.49, 110.52, 119.96, 122.28, 122.83, 125.05, 126.03, 128.80, 132.10, 136.29, 144.55, 146.25; IR (neat) 3078-2870, 1295 (S=O, sulfonyl), 1143, 1082 cm^{-1}; HRMS (ESI) Calcd for $C_{18}H_{19}NO_2SNa$ (M + Na^+) 336.1029. Found 336.1028.

3-(4-Methoxybenzenesulfonyl)-1-methyl-2-propyl-1*H*-indole (2n). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.07 (3H, t, *J* = 7.2 Hz), 1.59-1.69 (2H, m), 3.12-3.16 (2H, m), 3.69 (3H, s), 3.79, (3H, s), 6.89 (2H, d, *J* = 8.8 Hz), 7.23-7.30 (3H, m), 7.90 (2H, d, *J* = 8.8 Hz), 8.08-8.12 (1H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.30, 23.16, 26.91, 29.96, 55.52, 109.41, 111.33, 113.97, 119.96, 122.13, 122.73, 124.95, 128.16, 136.28, 136.62, 145.71, 162.45; IR (neat) 3093-2845, 1593, 1291 (S=O, sulfonyl), 1260, 1133 cm^{-1}; HRMS (ESI) Calcd for $C_{19}H_{21}NO_3SNa$ (M + Na^+) 366.1134. Found 366.1136.

3-(4-Acetylbenzenesulfonyl)-1-methyl-2-propyl-1*H*-indole (2o). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.08 (3H, t, *J* = 7.2 Hz), 1.62-1.70 (2H, m), 2.58 (3H, s), 3.13-3.17 (2H, m), 3.71 (3H, s), 7.26-7.32 (3H, m), 7.97-8.11 (5H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.28, 23.21, 26.84, 30.10, 109.66, 119.75, 122.55, 123.09, 124.91, 126.26, 128.73, 136.36, 139.50, 146.80, 148.24, 196.63 (acyl); IR (neat) 3365, 3011-2869, 1692 (C=O, acyl), 1395, 1260 (S=O, sulfonyl), 1142, 1083 cm^{-1}; HRMS (ESI) Calcd for $C_{20}H_{21}NO_3SNa$ (M + Na^+) 378.1134. Found 378.1136.

7-Methoxy-1-methyl-2-propyl-3-tosyl-1*H*-indole (2p). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.06 (3H, t, *J* = 7.6 Hz), 1.56-1.66 (2H, m), 2.33 (3H, s), 3.08-3.12 (2H, m), 3.89 (3H, s), 3.97 (3H, s), 6.65 (1H, d, *J* = 8.0 Hz), 7.10 (1H, dd, *J* = 8.0, 8.0 Hz), 7.20 (2H, d, *J* = 8.4 Hz), 7.71 (1H, d, *J* = 8.0 Hz), 7.84 (2H, d, *J* = 8.4 Hz); ^{13}C NMR (150.90 MHz, $CDCl_3$) δ 14.23, 21.41, 22.86, 26.63, 33.18, 55.47, 103.85, 110.86, 112.51, 122.41, 125.93, 126.06, 127.06, 129.40, 141.78, 142.76, 146.14, 147.27; IR (neat) 3067-2841, 1578, 1490, 1261 (S=O, sulfonyl), 1112 cm^{-1}; HRMS (ESI) Calcd for $C_{20}H_{23}NO_3SNa$ (M + Na^+) 380.1291. Found 380.1290.

7-Methoxy-3-(4-methoxybenzenesulfonyl)-1-methyl-2-propyl-1*H*-indole (2q). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.06 (3H, t, *J* = 7.6 Hz), 1.61 (2H, tq, *J* = 7.6, 7.6 Hz), 3.08-3.12 (2H, m), 3.79 (3H, s), 3.89 (3H, s), 3.97 (3H, s), 6.65 (1H, d, *J* = 8.0 Hz), 6.87 (2H, d, *J* = 8.8 Hz), 7.10 (1H, dd, *J* = 8.0, 8.0 Hz), 7.70 (1H, d, *J* = 8.0 Hz), 7.88 (2H, d, *J* = 8.8 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.25, 22.87, 26.61, 33.15, 55.44, 103.72, 111.14, 112.37, 113.83, 122.23, 125.78, 126.86, 127.98, 128.00, 136.49, 145.74, 147.11, 162.27; IR (neat) 3040-2843, 1595, 1495, 1259 (S=O, sulfonyl), 1127 cm^{-1}; HRMS (ESI) Calcd for $C_{20}H_{23}NO_4SNa$ (M + Na^+) 396.1240. Found 396.1241.

3-Benzenesulfonyl-7-methoxy-1-methyl-2-propyl-1*H*-indole (2r). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.06 (3H, t, *J* = 7.6 Hz), 1.55-1.65 (2H, m), 3.08-3.12 (2H, m), 3.89 (3H, s), 3.98 (3H, s), 6.66 (1H, d, *J* = 7.6 Hz), 7.11 (1H, dd, *J* = 7.6, 7.6 Hz), 7.38-7.45 (3H, m), 7.71 (1H, d, *J* = 7.6 Hz), 7.93-7.96 (2H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.30, 22.93, 26.74, 33.29, 55.54, 103.94, 110.51, 112.51, 122.49, 125.94, 125.97, 127.12, 128.74, 132.02, 144.60, 146.35, 147.23; IR (KBr) 2928-2833, 1609, 1582, 1331, 1267 (S=O, sulfonyl), 1186 cm^{-1}; HRMS (ESI) Calcd for $C_{19}H_{21}NO_3SNa$ (M + Na^+) 366.1134. Found 366.1134.

7-Methoxy-1-methyl-3-(4-nitrobenzenesulfonyl)-2-propyl-1*H*-indole (2s). Yellow solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.08 (3H, t, *J* = 7.7 Hz), 1.63 (2H, tq, *J* = 7.7, 7.7 Hz), 3.10 (3H, m), 3.91 (3H, s), 4.02 (3H, s), 6.70 (1H, d, *J* = 8.0 Hz), 7.15 (1H, dd, *J* = 8.0, 8.0 Hz), 7.66 (1H, dd, *J* = 0.8, 8.0 Hz), 8.09-8.12 (2H, m), 8.22-8.26 (2H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.28, 23.05, 26.79, 33.51, 55.58, 104.37, 108.83, 111.99, 123.16, 124.12, 126.08, 126.89, 127.12, 147.30, 147.40, 149.58, 150.07; IR (neat) 3107, 2960-2843, 1524, 1345 (S=O, sulfonyl), 1223, 1009 cm^{-1}; HRMS (ESI) Calcd for $C_{19}H_{20}N_2O_5SNa$ ($M + Na^+$) 411.0985. Found 411.0986.

2-Cyclohexyl-7-methoxy-1-methyl-3-tosyl-1*H*-indole (2t). White amorphus; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.21-1.88 (10H, m), 2.34 (3H, s), 3.88 (3H, s), 4.06-4.12 (4H, m), 6.65 (1H, d, *J* = 8.0 Hz), 7.11 (1H, dd, *J* = 8.0, 8.0 Hz), 7.19 (2H, d, *J* = 8.0 Hz), 7.80 (2H, d, *J* = 8.0 Hz), 7.84 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.42, 25.90, 26.86, 29.71, 35.82, 55.47, 103.92, 111.17, 112.97, 122.32, 125.88, 126.20, 127.27, 129.22, 141.96, 142.52, 147.21, 148.75; IR (neat) 1576, 1489, 1255 (S=O, sulfonyl), 1145 cm^{-1}; HRMS (EI) Calcd for $C_{23}H_{27}NO_3SNa$ ($M + Na^+$) 420.1604. Found 420.1606.

7-Methoxy-1-methyl-2-phenyl-3-tosyl-1*H*-indole (2u). Colorless amorphus; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.31 (3H, s), 3.71 (3H, s), 3.91 (3H, s), 6.73 (1H, d, *J* = 8.0 Hz), 7.08 (2H, d, *J* = 8.0 Hz), 7.20 (1H, dd, *J* = 8.0, 8.0 Hz), 7.27-7.28 (2H, m), 7.44-7.54 (5H, m), 7.91 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.41, 34.51, 55.49, 104.13, 113.03, 113.56, 127.70, 125.85, 126.33, 126.89, 127.85, 128.94, 129.27, 129.36, 130.76, 141.20, 142.47, 144.30, 147.41; IR (neat) 2956, 1578, 1470, 1302 (S=O, sulfonyl), 1152 cm^{-1}; HRMS (ESI) Calcd for $C_{23}H_{21}NO_3SNa$ ($M + Na^+$) 414.1134. Found 414.1136.

7-Methoxy-1-methyl-3-tosyl-2-[4-(trifluoromethyl)phenyl]-1*H*-indole (2v). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.25 (3H, s), 3.65 (3H, s), 3.85 (3H, s), 6.68 (1H, d, *J* = 8.0 Hz), 7.03 (2H, d, *J* = 8.4 Hz), 7.15 (1H, dd, *J* = 8.0, 8.0 Hz), 7.35 (2H, d, *J* = 8.0 Hz), 7.41 (2H, d, *J* = 8.4 Hz), 7.66 (2H, d, *J* = 8.4 Hz), 7.82 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.42, 34.64, 55.51, 104.43, 113.00, 114.22, 123.05, 124.79, 124.82, 124.86, 124.90, 125.10, 126.06, 126.26, 126.70, 129.10, 131.32, 131.60, 133.12, 140.85, 142.20, 142.85, 147.45; IR (neat) 3088-2836, 1577, 1453, 1314 (S=O, sulfonyl), 1262, 1121 cm^{-1}; HRMS (ESI) Calcd for $C_{24}H_{20}F_3NO_3SNa$ ($M + Na^+$) 482.1008 Found 482.1008.

7-Methoxy-2-(4-methoxyphenyl)-1-methyl-3-tosyl-1*H*-indole (2w). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 2.31 (3H, s), 3.71 (3H, s), 3.90 (6H, m), 6.72 (1H, d, *J* = 8.0 Hz), 6.99 (2H, d, *J* = 8.8 Hz), 7.08 (2H, d, *J* = 8.0 Hz), 7.16-7.20 (3H, m), 7.49 (2H, d, *J* = 8.8 Hz), 7.90 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.40, 34.43, 55.26, 55.48, 104.05, 112.99, 113.34, 113.43, 121.14, 122.60, 125.80, 126.28, 126.91, 128.92, 132.13, 141.29, 142.40, 144.38, 147.34, 160.30; IR (neat) 3093-2840, 1901, 1610, 1482, 1308 (S=O, sulfonyl), 1245, 1150cm^{-1}; HRMS (ESI) Calcd for $C_{24}H_{23}NO_4SNa$ ($M + Na^+$) 444.1240. Found 444.1242.

7-Methoxy-1-methyl-3-tosyl-1*H*-indole (2x). **2x** was obtained as an inseparable mixture with an unidentified isomer in the ratio **3x** : the retio of **2x**/**3x** = 88 : 12; ^{1}H NMR (594.17 MHz, $CDCl_3$) 2.35 (3H, s), 3.89 (3H, s), 4.06 (3H, s), 6.66 (1H, d, *J* = 8.0 Hz), 7.11 (1H, dd, *J* = 8.0, 8.0 Hz), 7.22-7.24 (2H, m), 7.48 (1H, dd, *J* = 0.7, 8.0 Hz), 7.60 (1H, s), 7.88 (2H, d, *J* = 8.3 Hz).

1-Methyl-2-propyl-6-tosyl-1*H*-indole (3a). Colorless crystal; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ1.04 (3H, t, *J* = 7.2 Hz), 1.75 (2H, tq, *J* = 7.2, 7.2 Hz), 2.36 (3H, s), 2.73 (2H, t, *J* = 7.2 Hz), 3.73 (3H, s), 6.30 (1H, s), 7.83 (2H, d, *J* = 8.0 Hz), 7.95 (1H, m); ^{13}C NMR (125.65 MHz, $CDCl_3$) δ 13.91, 21.47, 21.65, 28.97, 29.81, 99.70, 109.18, 118.30, 120.18, 127.29, 129.64, 131.42, 132.81, 136.23, 140.17, 143.23, 145.95; IR (neat) 3060-2833, 1596, 1471, 1285 (S=O, sulfonyl), 1149 cm^{-1}; Anal. Calcd for $C_{19}H_{21}NO_2S$: C, 69.69; H, 6.46; N, 4.28; S, 9.79. Found: C, 69.81; H, 6.55; N, 4.24; S, 9.62. HRMS (ESI) Calcd for $C_{19}H_{21}NO_2SNa$ (M + Na$^+$) 350.1185. Found 350.1185.

7-Methoxy-1-methyl-2-propyl-6-tosyl-1*H*-indole (3p). White crystal; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 0.96 (3H, t, *J* = 7.6 Hz), 1.66 (2H, tq, *J* = 7.6, 7.6 Hz), 2.29 (3H, s), 2.57 (2H, t, *J* = 7.6 Hz), 3.78 (3H, s), 3.94 (3H, s), 6.20 (1H, s), 7.15 (2H, d, *J* = 8.4 Hz), 7.26 (1H, d, *J* = 8.4 Hz), 7.66 (1H, d, *J* = 8.4 Hz), 7.76 (2H, d, *J* = 8.4 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.03, 21.44, 21.58, 28.98, 31.50, 65.10, 100.44, 115.55, 119.93, 126.03, 127.46, 129.08, 129.38, 135.58, 140.46, 143.00, 145.03, 146.47; IR (neat) 3011-2837, 1596, 1462, 1282 (S=O, sulfonyl), 1141 cm^{-1}; HRMS (ESI) Calcd for $C_{20}H_{23}NO_3SNa$ (M + Na$^+$) 380.1291. Found 380.1292.

7-Methoxy-6-(4-methoxyphenylsulfonyl)-1-methyl-2-propyl-1*H*-indole (3q). Light yellow crystal; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.04 (3H, t, *J* = 7.6 Hz), 1.74 (2H, tq, *J* = 7.6, 7.6 Hz), 2.65 (2H, t, *J* = 7.6 Hz), 3.80 (3H, s), 4.02 (3H, s), 6.27 (1H, s), 6.89 (2H, d, *J* = 9.2 Hz), 7.33 (1H, d, *J* = 8.4 Hz), 7.73 (1H, d, *J* = 8.4 Hz), 7.89 (2H, d, *J* = 9.2 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 13.96, 21.37, 28.90, 31.42, 55.44, 64.99, 100.33, 113.59, 115.44, 119.70, 126.34, 129.31, 129.53, 135.06, 135.40, 144.81, 146.35, 162.56; IR (neat) 3071-2840, 1592, 1461, 1287 (S=O, sulfonyl), 1151 cm^{-1}; HRMS (ESI) Calcd for $C_{20}H_{23}NO_4SNa$ (M + Na$^+$) 396.1240. Found 396.1239.

6-Benzenesulfonyl-7-methoxy-1-methyl-2-propyl-1*H*-indole (3r). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.05 (3H, t, *J* = 7.8 Hz), 1.74 (2H, tq, *J* = 7.8, 7.8 Hz), 2.65 (2H, t, *J* = 7.8 Hz), 3.86 (3H, s), 4.02 (3H, s), 6.29 (1H, s), 7.35 (1H, d, *J* = 8.4 Hz), 7.41-7.52 (3H, m), 7.76 (1H, d, *J* = 8.4 Hz) 7.96 (2H, d, *J* = 7.6 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.05, 21.43, 28.98, 31.50, 65.12, 100.48, 115.61, 119.99, 125.66, 127.43, 128.44, 129.37, 132.28, 135.70, 143.31, 145.11, 146.59; IR (neat) 3086, 2988-2833, 1531, 1299 (S=O, sulfonyl), 1207, 1154cm^{-1}; HRMS (ESI) Calcd for $C_{19}H_{21}NO_3SNa$ (M + Na$^+$) 366.1134. Found 366.1135.

7-Methoxy-1-methyl-6-(4-nitrobenzenesulfonyl)-2-propyl-1*H*-indole (3s). Orange solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.05 (3H, t, *J* = 7.4 Hz), 1.75 (2H, tq, *J* = 7.4, 7.4 Hz), 2.67 (2H, t, *J* = 7.4 Hz), 3.86 (3H, s), 4.08 (3H, s), 6.31 (1H, s), 7.39 (1H, d, *J* = 8.4 Hz), 7.74 (1H, d, *J* = 8.4 Hz), 8.10-8.13 (2H, m), 8.25-8.28 (2H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 14.03, 21.40, 28.99, 31.55, 65.48, 100.81, 116.06, 119.88, 123.73, 124.09, 128.72, 129.22, 136.39, 145.13, 147.30,

148.91, 149.79; IR (neat) 3097, 2962-2835, 1529, 1347 (S=O, sulfonyl), 1306, 1209, 1158, 1013 cm^{-1}; HRMS (ESI) Calcd for $C_{19}H_{20}N_2O_5SNa$ ($M + Na^+$) 411.0985. Found 411.0986.

2-Cyclohexyl-7-methoxy-1-methyl-6-tosyl-1*H*-indole (3t). White amolphus; ^1H NMR (399.65 MHz, $CDCl_3$) δ1.19-1.40 (5H, m), 1.71 (1H, d, *J* = 11.6 Hz), 1.78-1.91 (4H, m), 2.29 (3H, s), 2.52-2.59 (1H, m), 3.82 (3H, s), 3.95 (3H, s), 6.19 (1H, s), 7.14 (2H, d, *J* = 8.0 Hz), 7.27 (1H, d, *J* = 8.4 Hz), 7.67 (1H, d, *J* = 8.4 Hz), 7.76 (2H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.51, 26.03 26.52, 31.32, 32.92, 35.81, 65.04, 98.40, 115.61, 119.86, 125.98, 127.38, 129.00, 129.22, 135.58, 140.40, 142.91, 145.06, 151.69; IR (neat) 2947-2844, 1448, 1281 (S=O, sulfonyl), 1138 cm^{-1}; HRMS (ESI) Calcd for $C_{23}H_{27}NO_3SNa$ ($M + Na^+$) 420.1604. Found 420.1605.

7-Methoxy-1-methyl-2-phenyl-6-tosyl-1*H*-indole (3u). White amorphus; ^1H NMR (399.65 MHz, $CDCl_3$) δ 2.37 (3H, s), 3.87 (3H, s), 4.09 (3H, s), 6.56 (1H, s), 7.23-7.25 (2H, m), 7.41-7.47 (6H, m), 7.83 (1H, d, *J* = 8.4 Hz), 7.87 (1H, d, *J* = 8.4 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.59, 33.50, 65.30, 103.00, 116.29, 120.47, 127.17, 127.53, 128.55, 128.62, 129.13, 129.35, 130.77, 131.50, 135.51, 140.27, 143.14, 145.70, 146.64; IR (neat) 3092-2832, 1595, 1467, 1300 (S=O, sulfonyl), 1151 cm^{-1}; HRMS (ESI) Calcd for $C_{23}H_{21}NO_3SNa$ ($M + Na^+$) 414.1134. Found 414.1136.

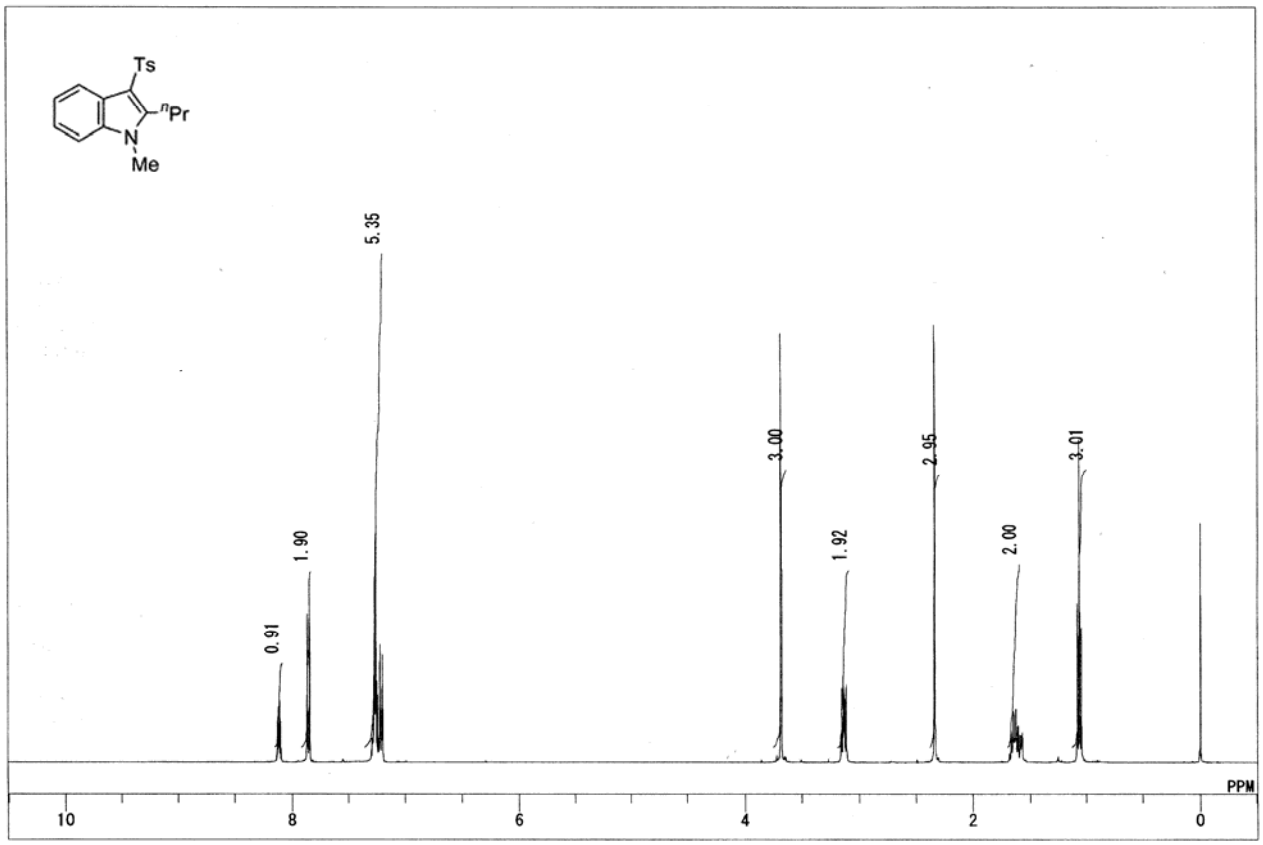
7-Methoxy-2-(4-methoxyphenyl)-1-methyl-6-tosyl-1*H*-indole (3v). Colorless amorphus; ^1H NMR (399.65 MHz, $CDCl_3$) δ 2.36 (3H, s), 3.85 (6H, m), 4.07 (3H, s), 6.50 (1H, s), 6.99 (2H, d, *J* = 8.8 Hz), 7.23 (2H, d, *J* = 8.8 Hz), 7.38 (2H, d, *J* = 8.8 Hz), 7.42 (1H, d, *J* = 8.8 Hz), 7.81 (1H, d, *J* = 8.8 Hz), 7.86 (2H, d, *J* = 8.8 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.56, 33.43, 55.37, 64.96, 102.43, 114.05, 116.05, 120.38, 123.79, 126.93, 127.49, 129.10, 130.59, 130.62, 135.60, 140.31, 143.08, 145.59, 146.60, 159.89; IR (neat) 2942, 2836, 1593, 1494, 1287 (S=O, sulfonyl), 1148 cm^{-1}; HRMS (ESI) Calcd for $C_{24}H_{23}NO_4SNa$ ($M + Na^+$) 444.1240. Found 444.1242.

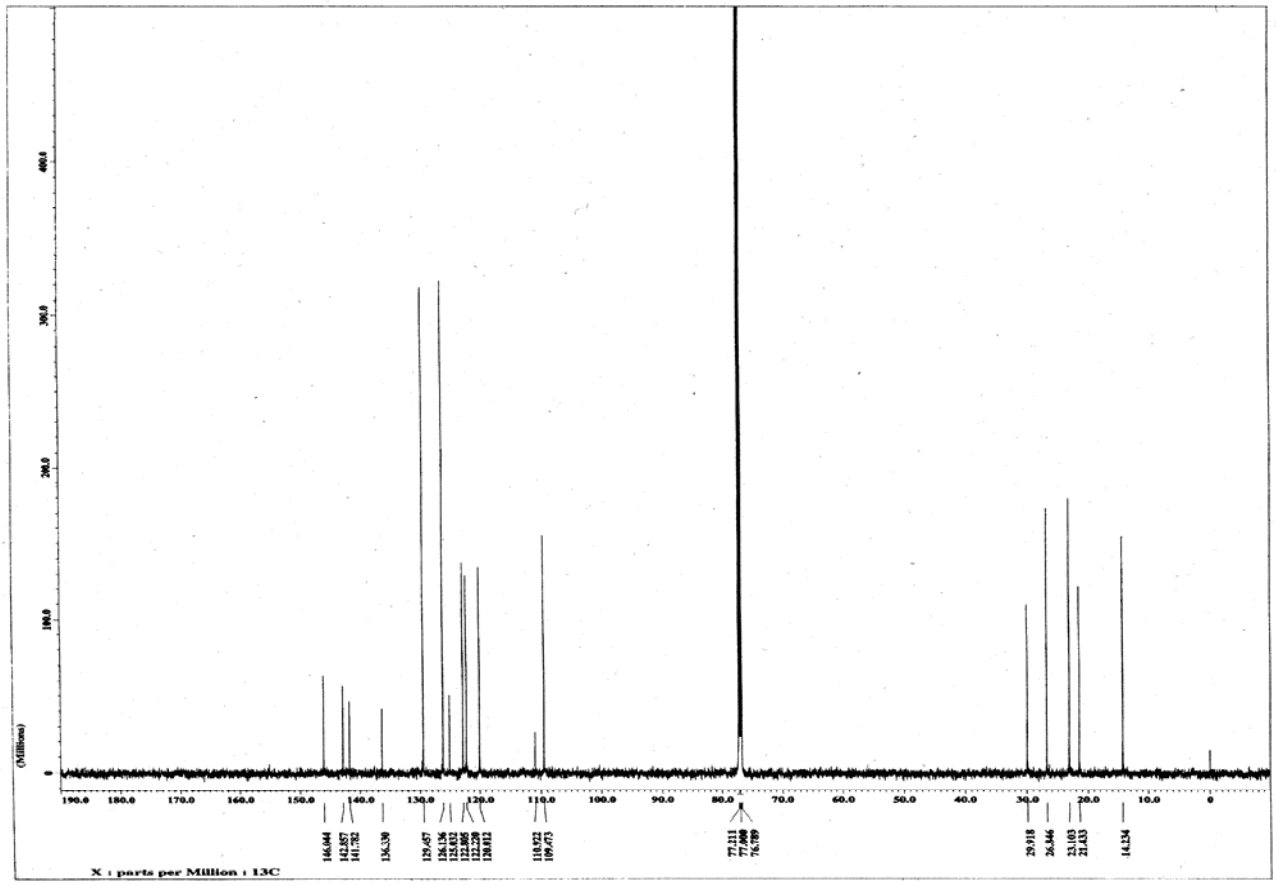
7-Methoxy-1-methyl-6-tosyl-2-[4-(trifluoromethyl)phenyl]-1*H*-indole (3w). White solid; ^1H NMR (399.65 MHz, $CDCl_3$) δ 2.38 (3H, s), 3.89 (3H, s), 4.10 (3H, s), 6.62 (1H, s), 7.24 (2H, d, *J* = 8.4 Hz), 7.47 (1H, d, *J* = 8.4 Hz), 7.60 (2H, d, *J* = 8.0 Hz), 7.74 (2H, d, *J* = 8.0 Hz), 7.83-7.88 (3H, m); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.54, 33.53, 65.01, 103.94, 116.57, 120.67, 122.43, 125.14, 125.46, 125.50, 125.53, 125.57, 126.89, 127.51, 127.84, 127.88, 129.11, 129.39, 129.54, 129.75; IR (neat) 3102-2833, 1594, 1459, 1322 (S=O, sulfonyl), 1150 cm^{-1}; HRMS (ESI) Calcd for $C_{24}H_{20}F_3NO_3SNa$ ($M + Na^+$). 482.1008. Found. 482.1010.

7-Methoxy-1-methyl-6-tosyl-1*H*-indole (3x). Dark brown amorphus; ^1H NMR (399.65 MHz, $CDCl_3$) δ 2.37 (3H, s), 3.98 (3H, s), 4.07 (3H, s), 6.48 (1H, d, *J* = 3.2 Hz), 7.09 (1H, d, *J* = 3.2 Hz), 7.24 (2H, d, *J* = 8.0 Hz), 7.43 (1H, d, *J* = 8.0 Hz), 7.77 (1H, d, *J* = 8.0 Hz), 7.85 (2H, d, *J* = 8.0 Hz); ^{13}C NMR (100.40 MHz, $CDCl_3$) δ 21.61, 35.51, 65.57, 102.18, 116.80, 120.04, 127.07, 127.50, 129.15, 129.17, 133.94, 136.19, 140.20, 143.19, 145.75; IR (neat) 3095-2836, 1598, 1479, 1349 (S=O, sulfonyl), 1301, 1155 cm^{-1}; HRMS (ESI) Calcd for $C_{17}H_{17}NO_3SNa$ ($M + Na^+$) 338.0821. Found 338.0820.

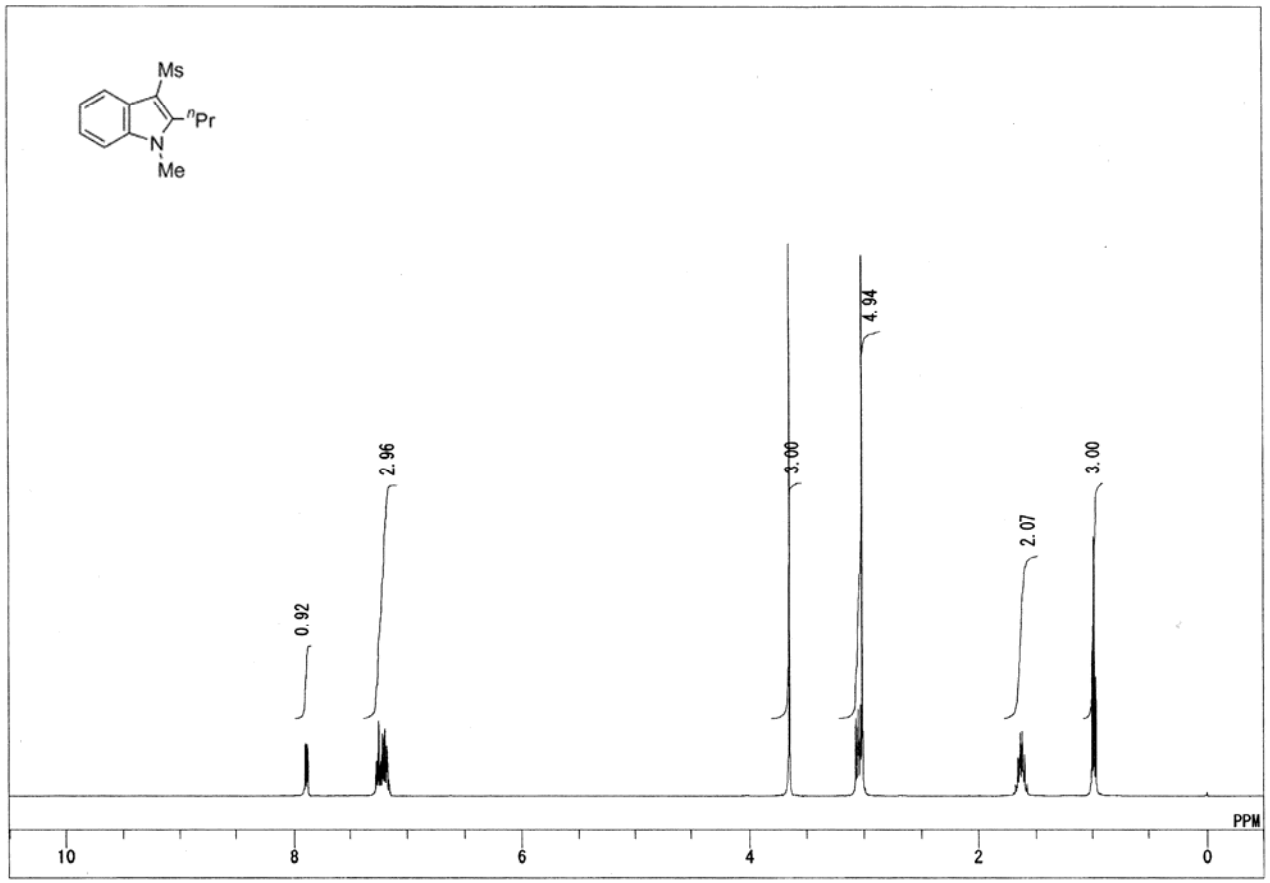
1-Methyl-2-propyl-4-tosyl-1*H*-indole (4a). White solid; ^{1}H NMR (399.65 MHz, $CDCl_3$) δ 1.05 (3H, t, *J* = 7.2 Hz), 1.77 (2H, tq, *J* = 7.2, 7.2 Hz), 2.33 (3H, s), 2.72 (2H, t, *J* = 7.2 Hz), 3.66 (3H, s), 6.75 (1H, s), 7.20-7.24 (3H, m), 7.43 (1H, d, *J* = 8.0 Hz), 7.80 (1H, d, *J* = 8.0 Hz), 7.88 (2H, d, *J* = 8.4 Hz); ^{13}C NMR (150.90 MHz, $CDCl_3$) δ 13.97, 21.46, 21.67, 28.96, 29.70, 98.74, 113.89, 119.69, 120.64, 124.69, 127.23, 129.47, 130.31, 138.33, 139.56, 143.32, 144.54; IR (neat) 3060, 2956-2871, 1532, 1277 (S=O, sulfonyl), 1144 cm^{-1}; Anal. Calcd for $C_{19}H_{21}NO_2S$: C, 69.69; H, 6.46; N, 4.28; S, 9.79. Found: C, 69.56; H, 6.64; N, 4.28; S, 9.68; HRMS (ESI) Calcd for $C_{19}H_{21}NO_2SNa$ ($M + Na^+$) 350.1185. Found 350.1185.

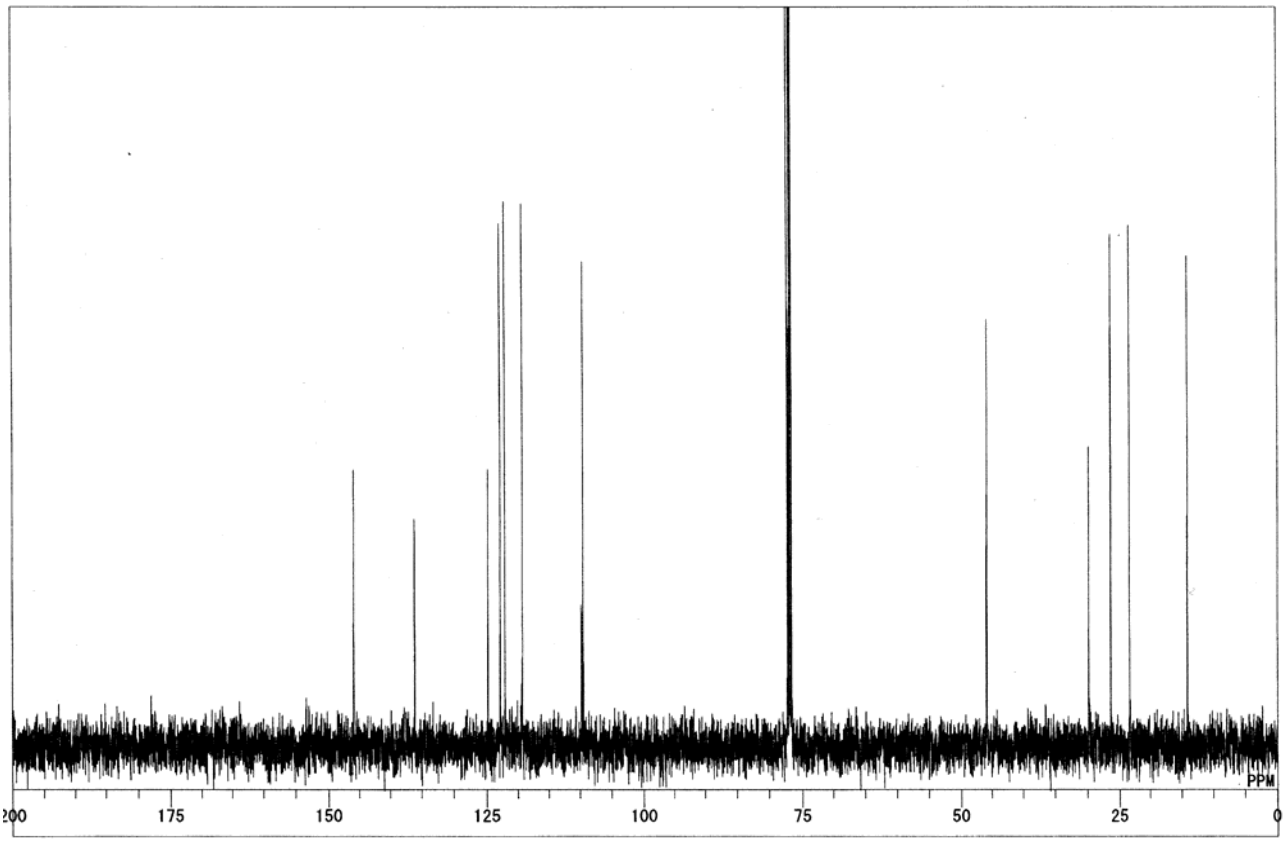
1-Methyl-2-propyl-3-tosylindole (2a)



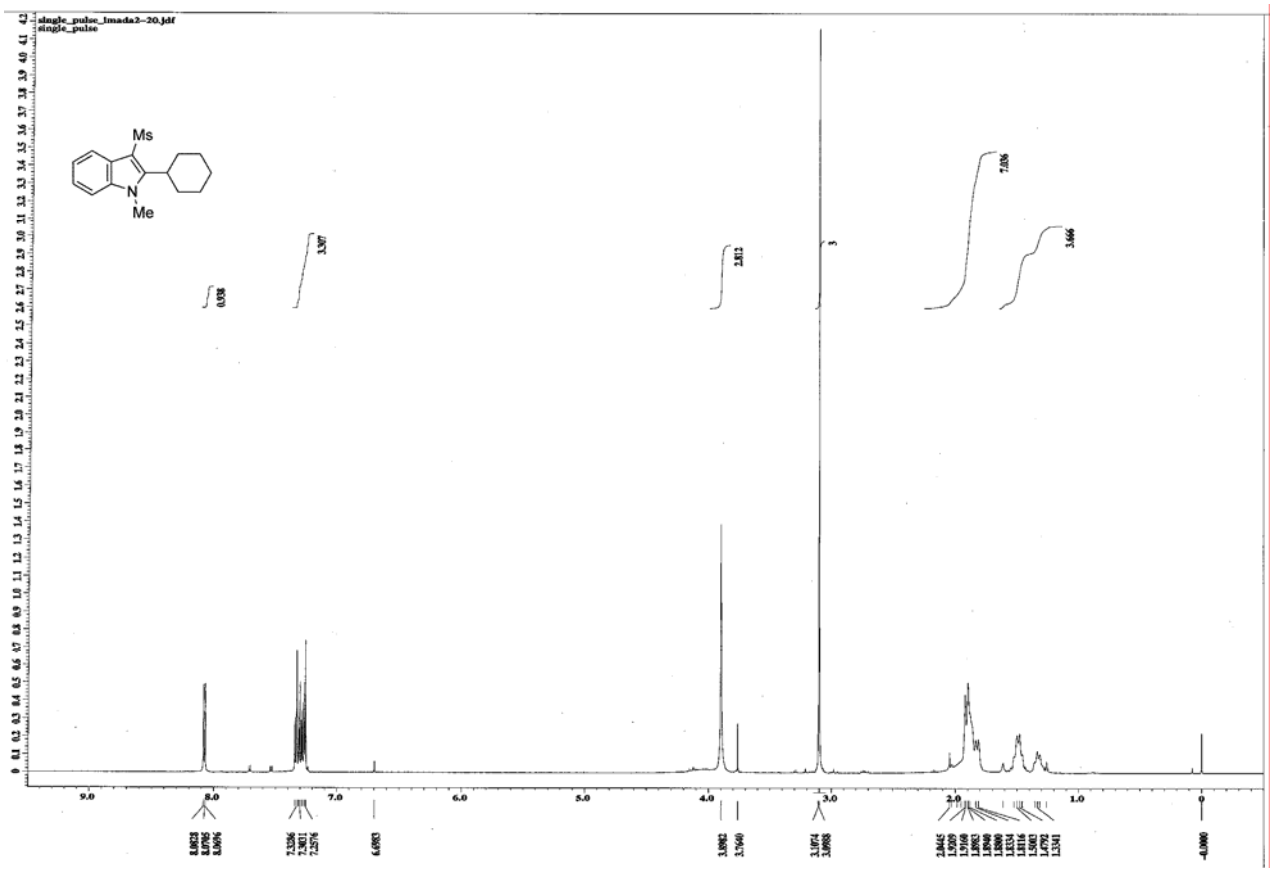


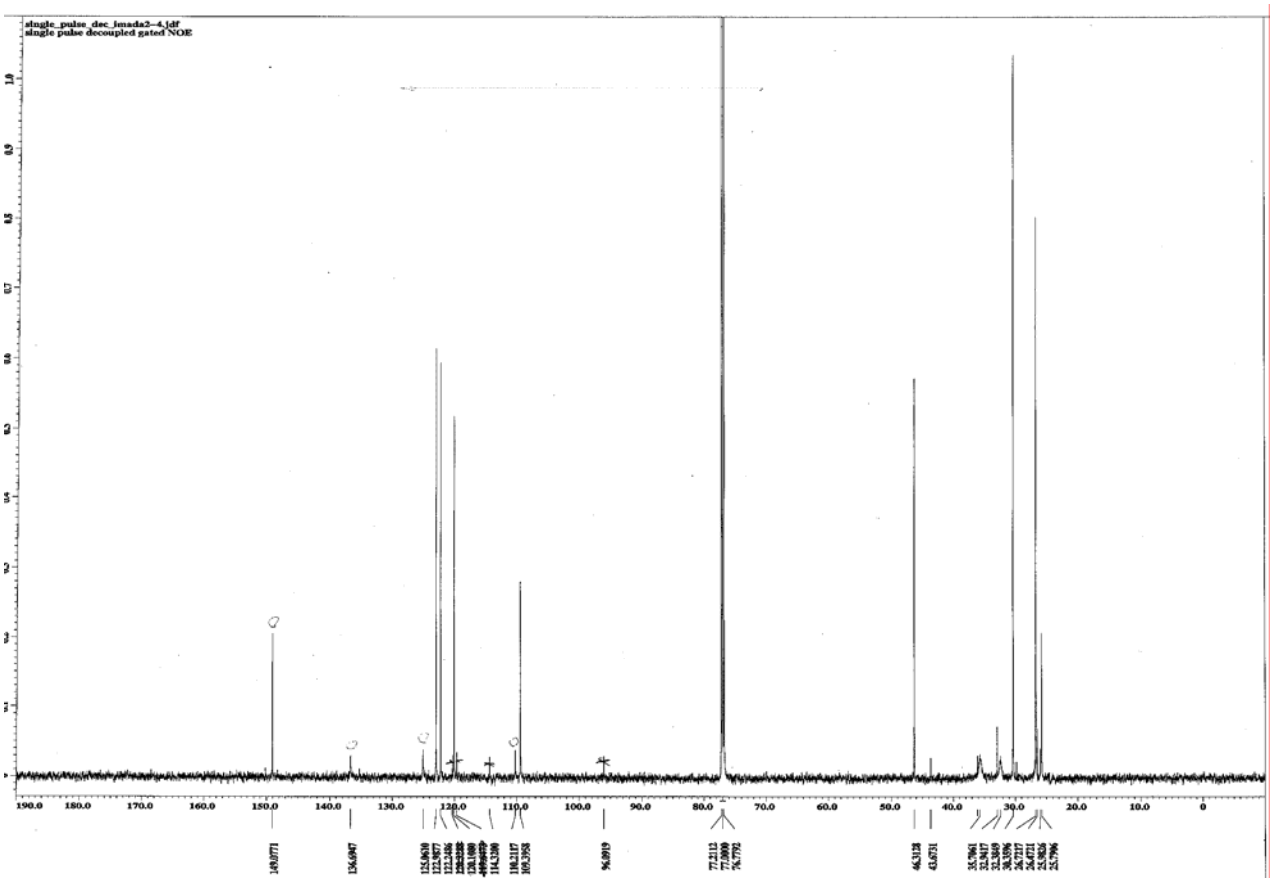
3-Mesyl-1-methyl-2-propylindole (2b).



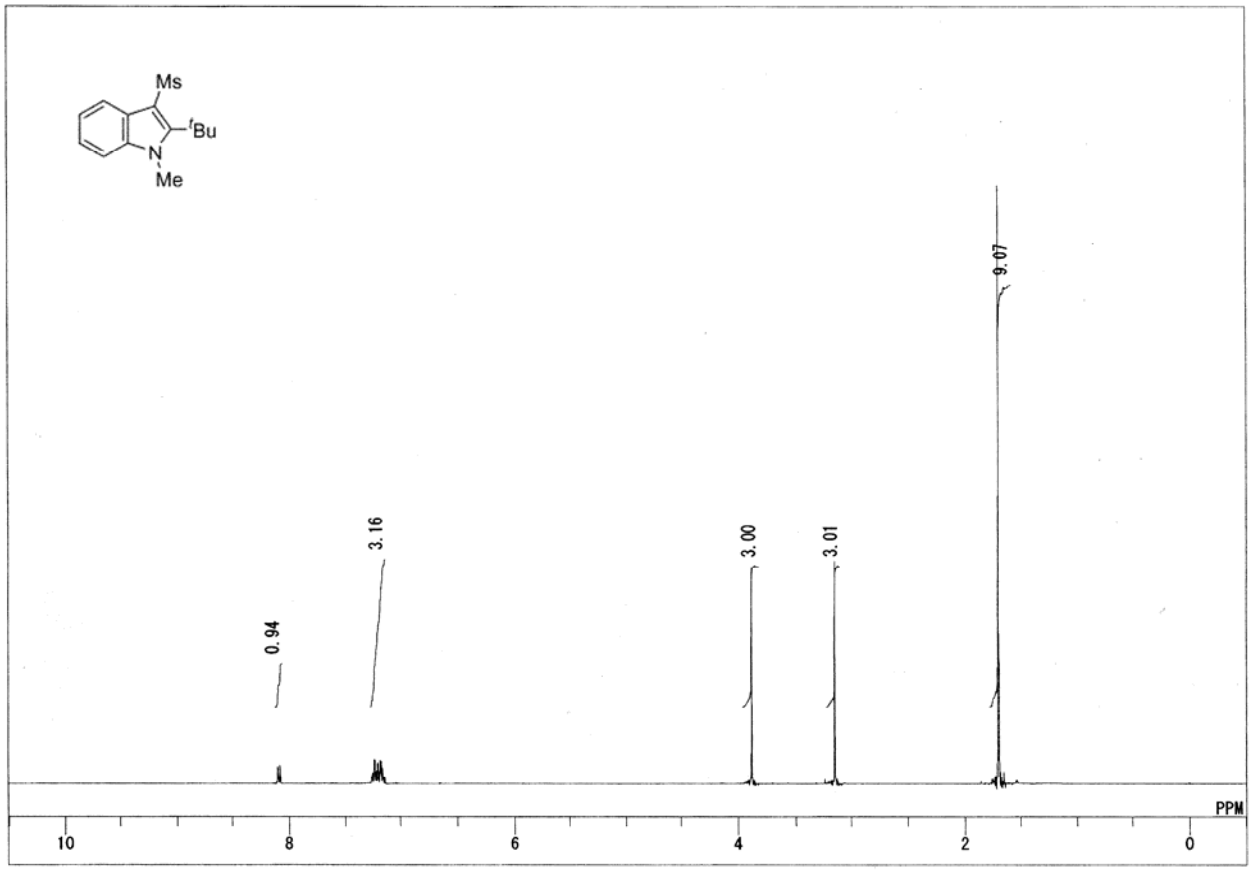


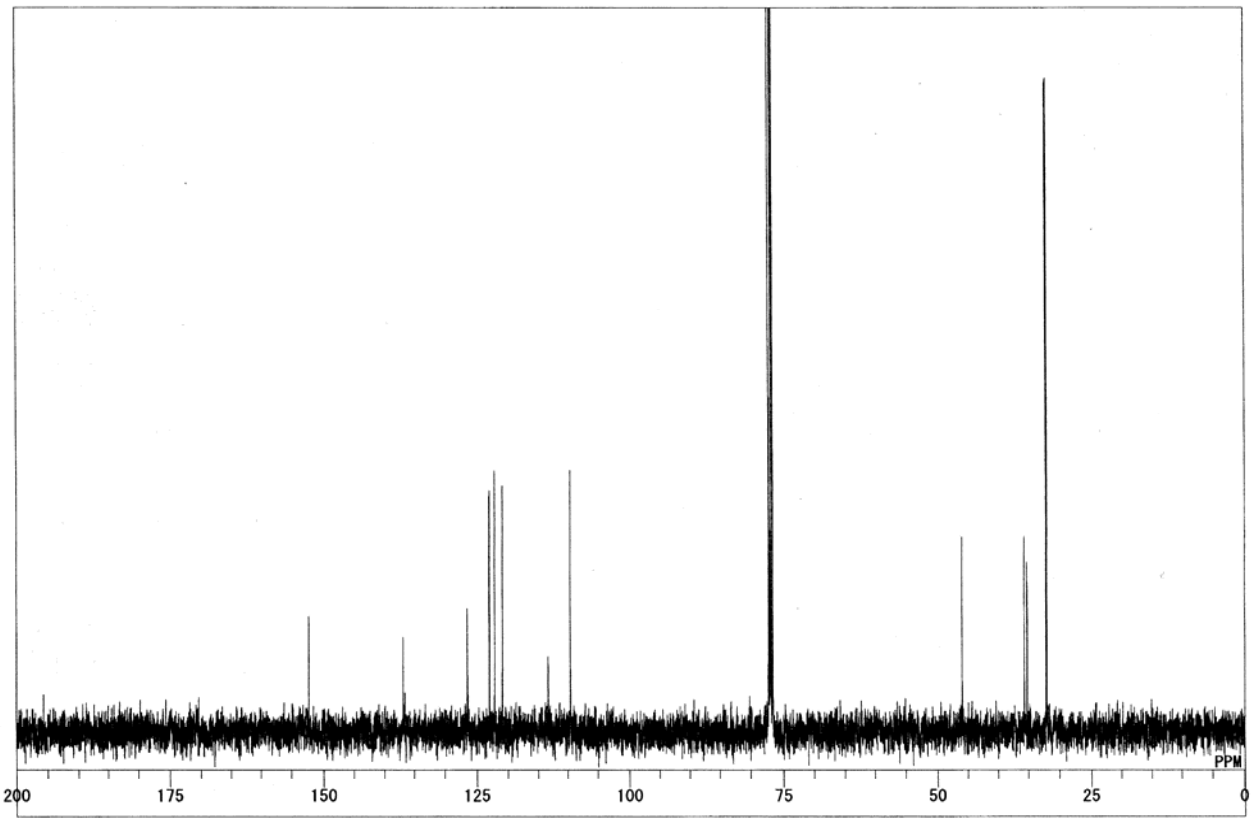
2-Cyclohexyl-3-mesyl-1-methylindole (2c)



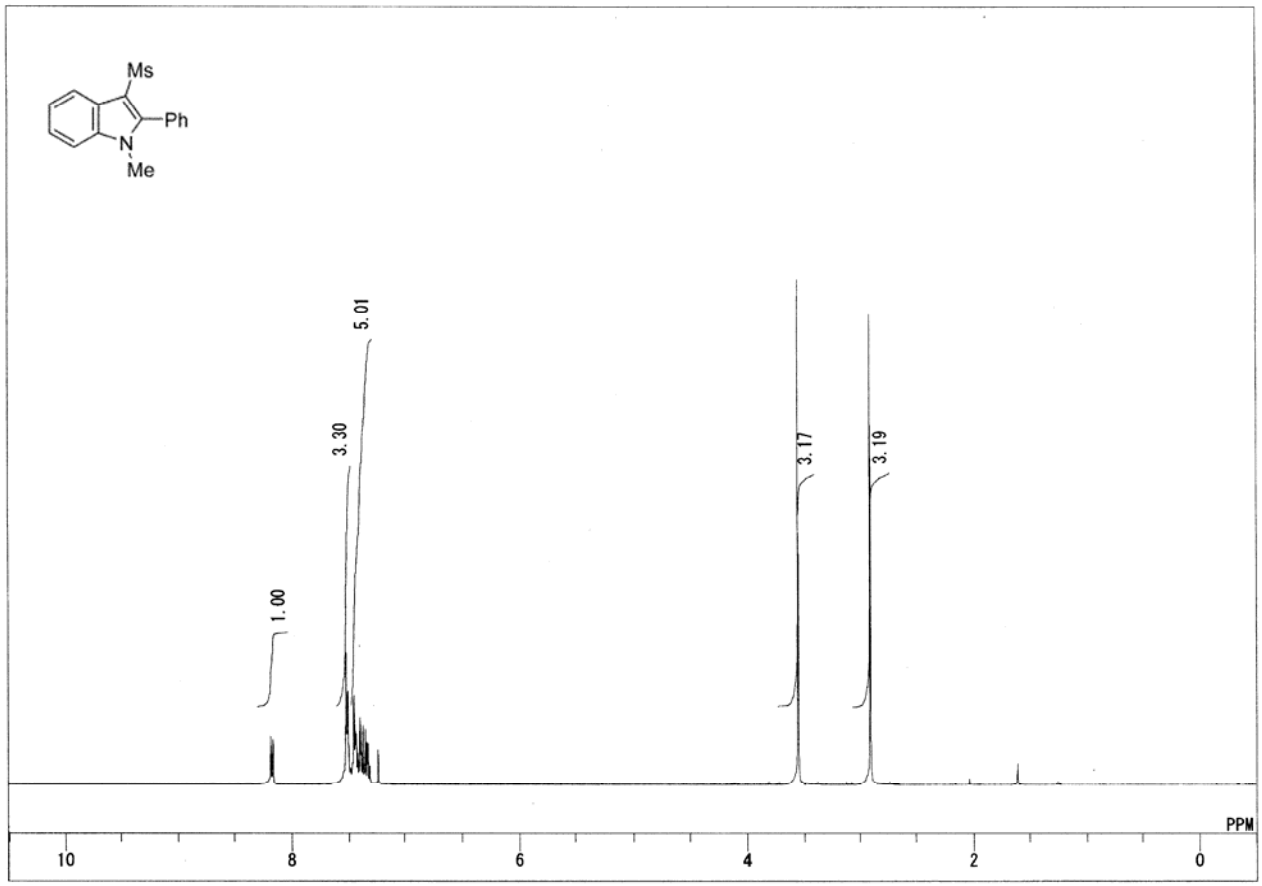


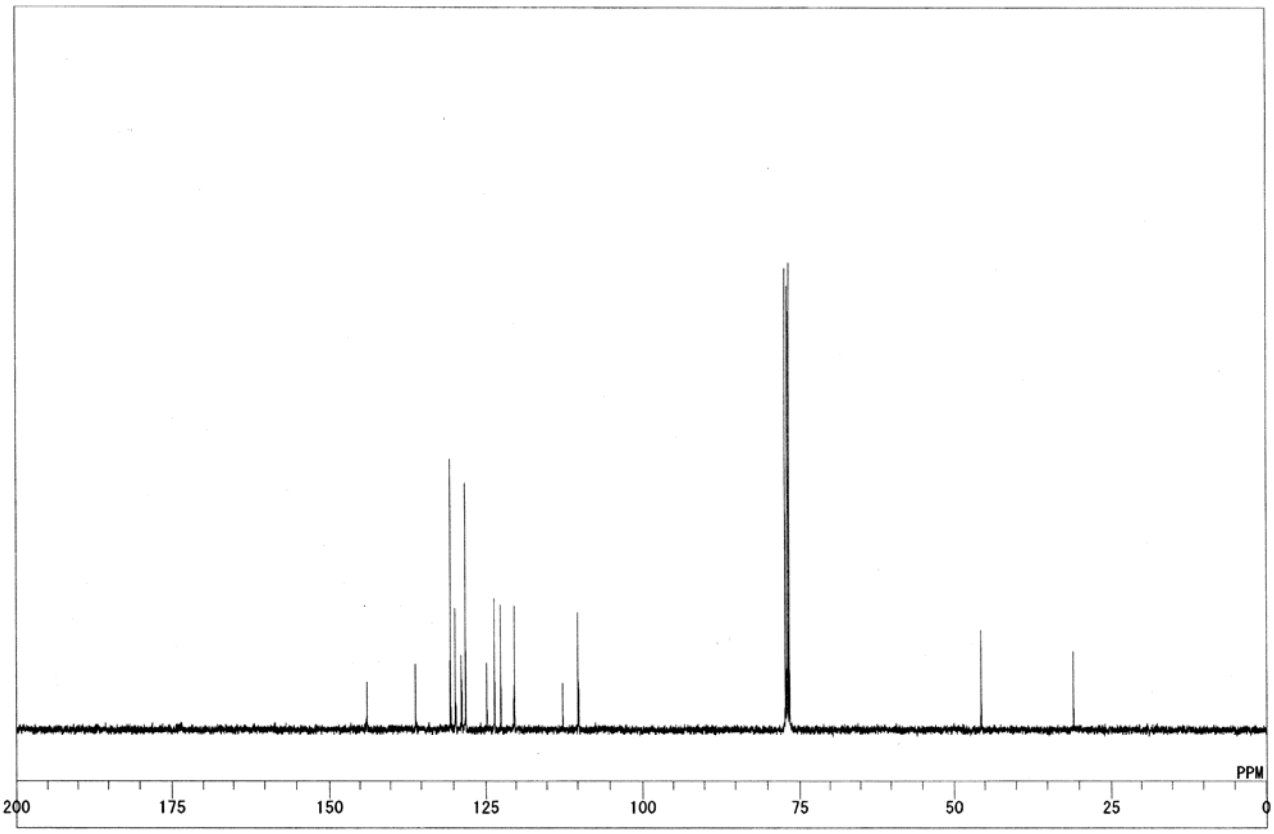
2-*tert*-Butyl-3-mesyl-1-methylindole(2d)



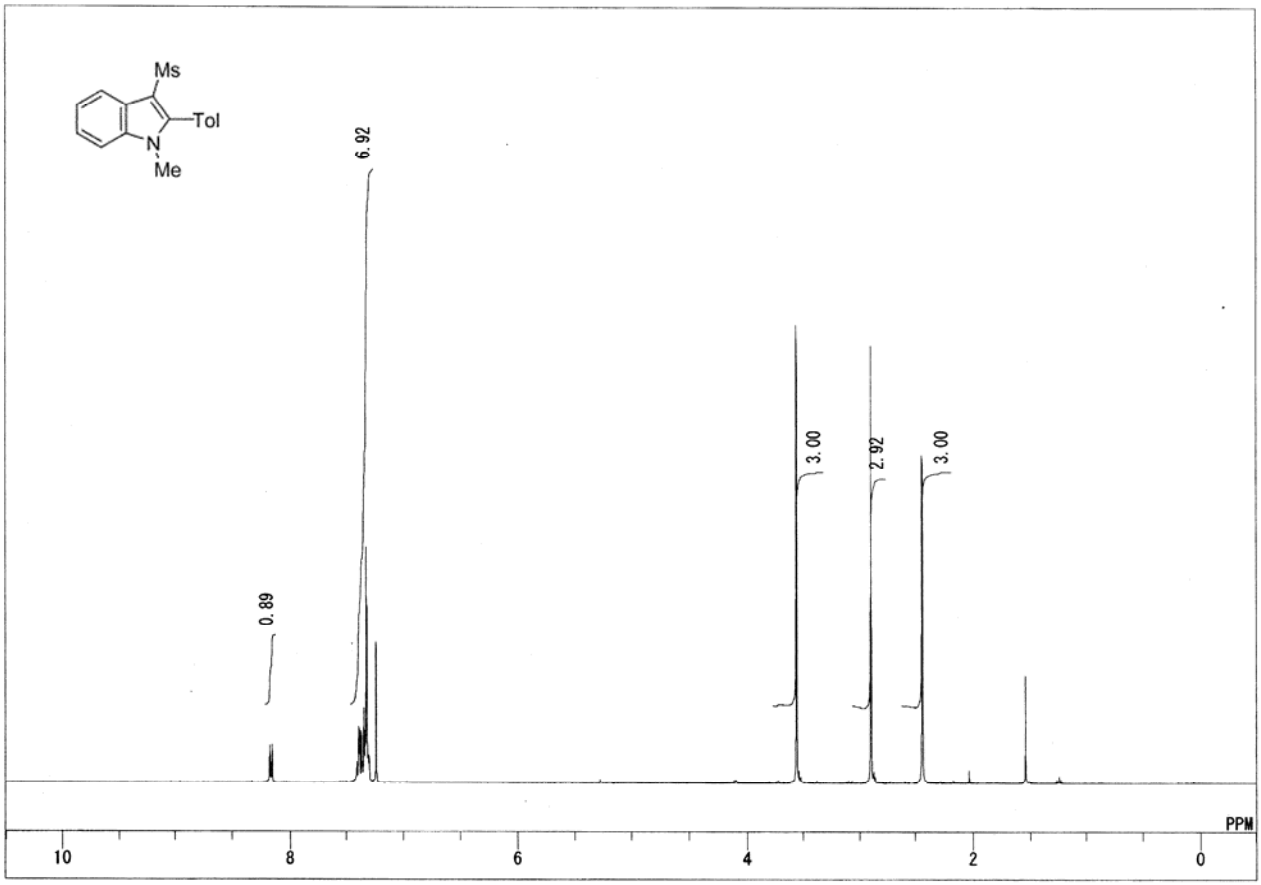


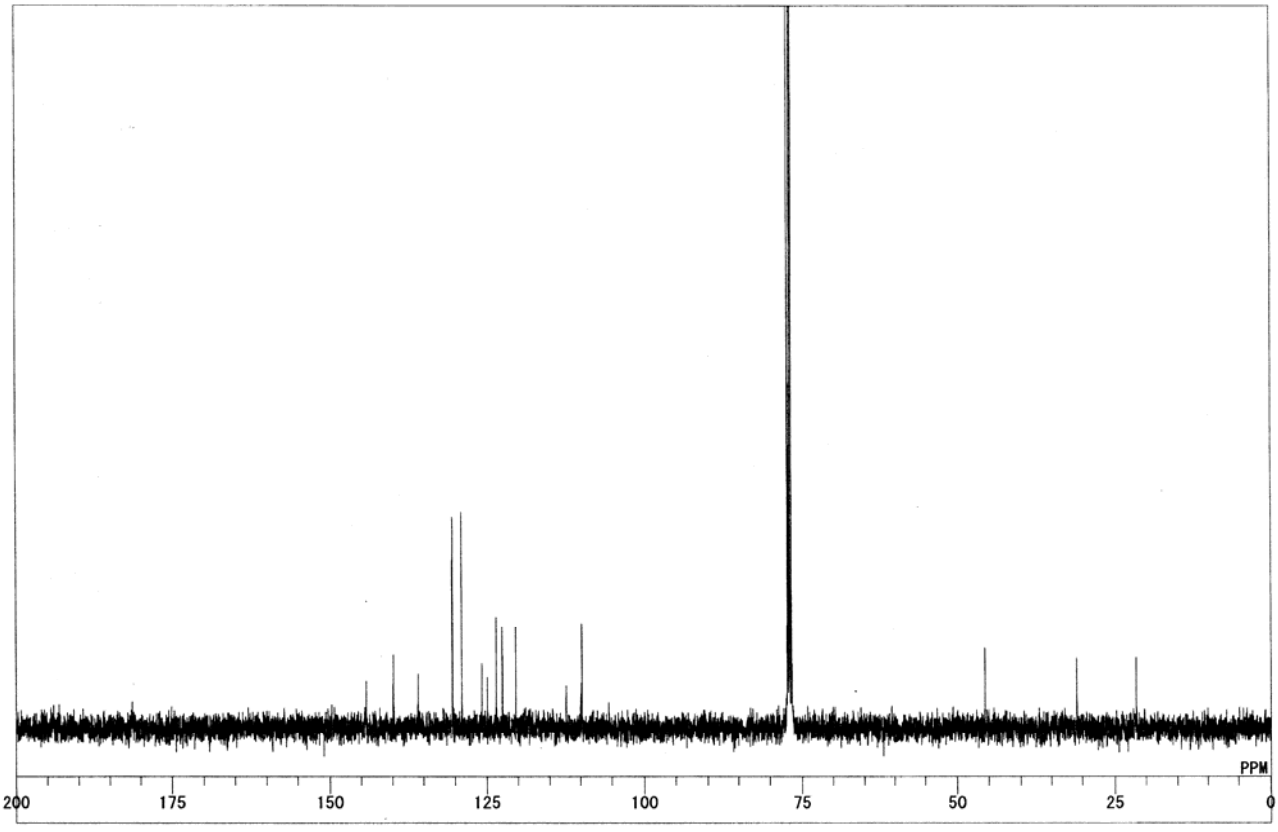
3-Mesyl-1-methyl-2-phenylindole (2e).



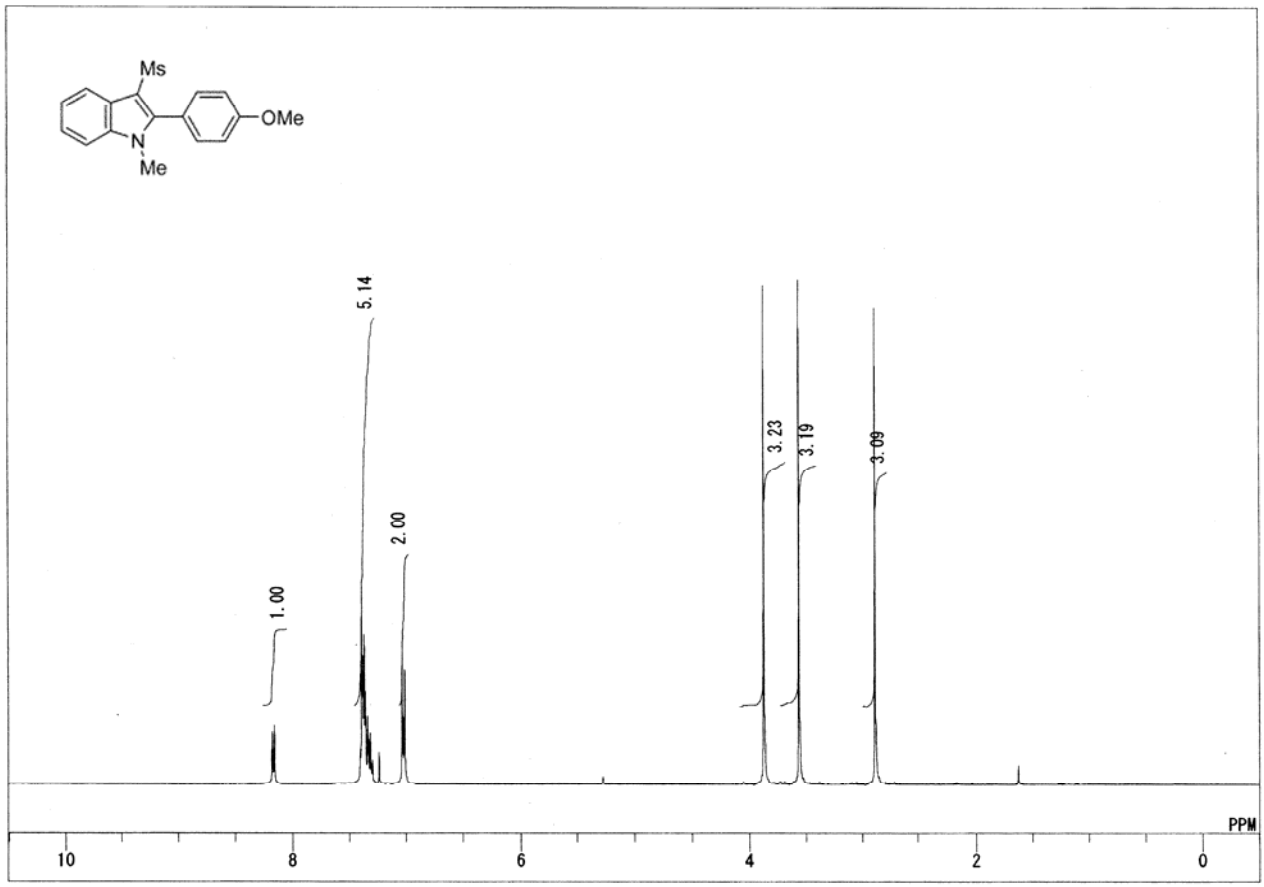


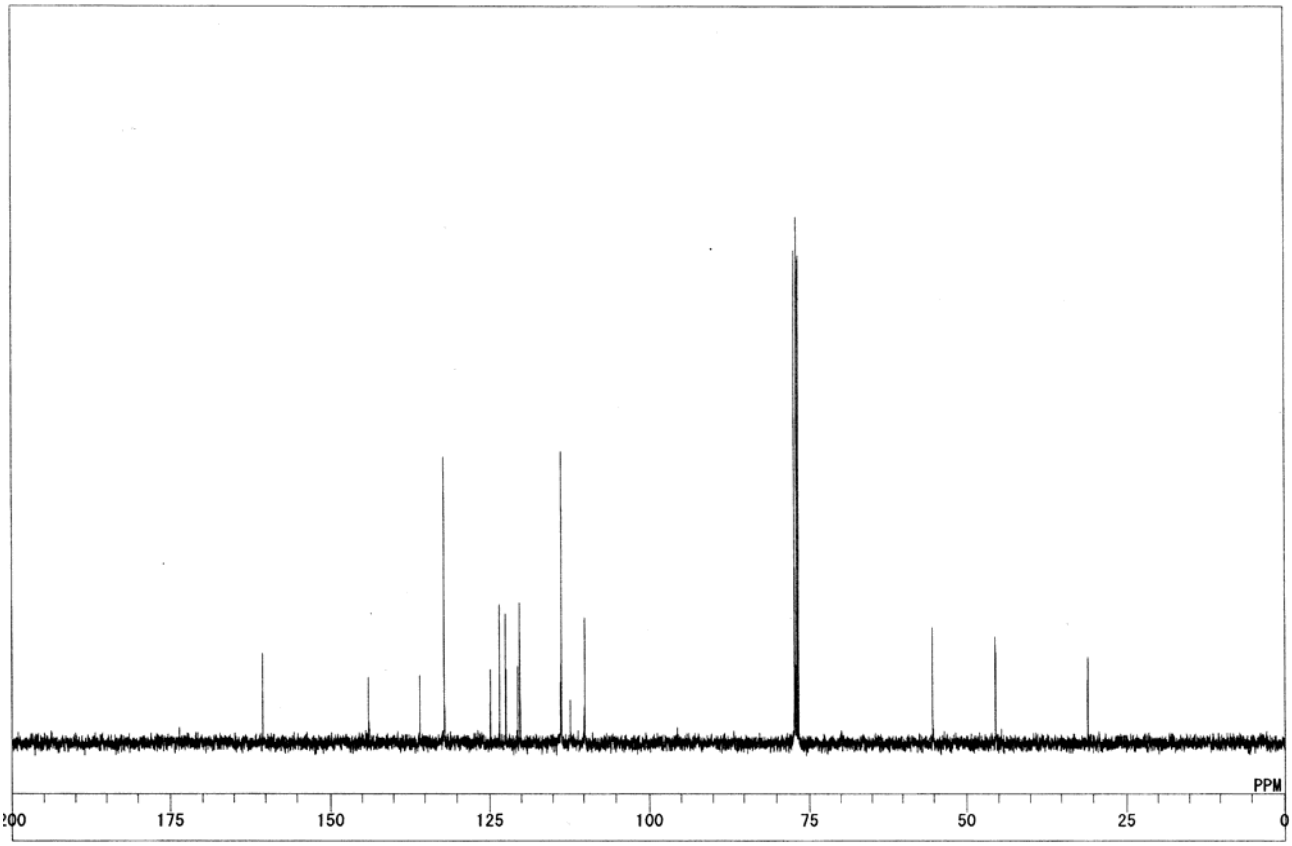
3-Mesyl-1-methyl-2-(*p*-tolyl)indole (2f).



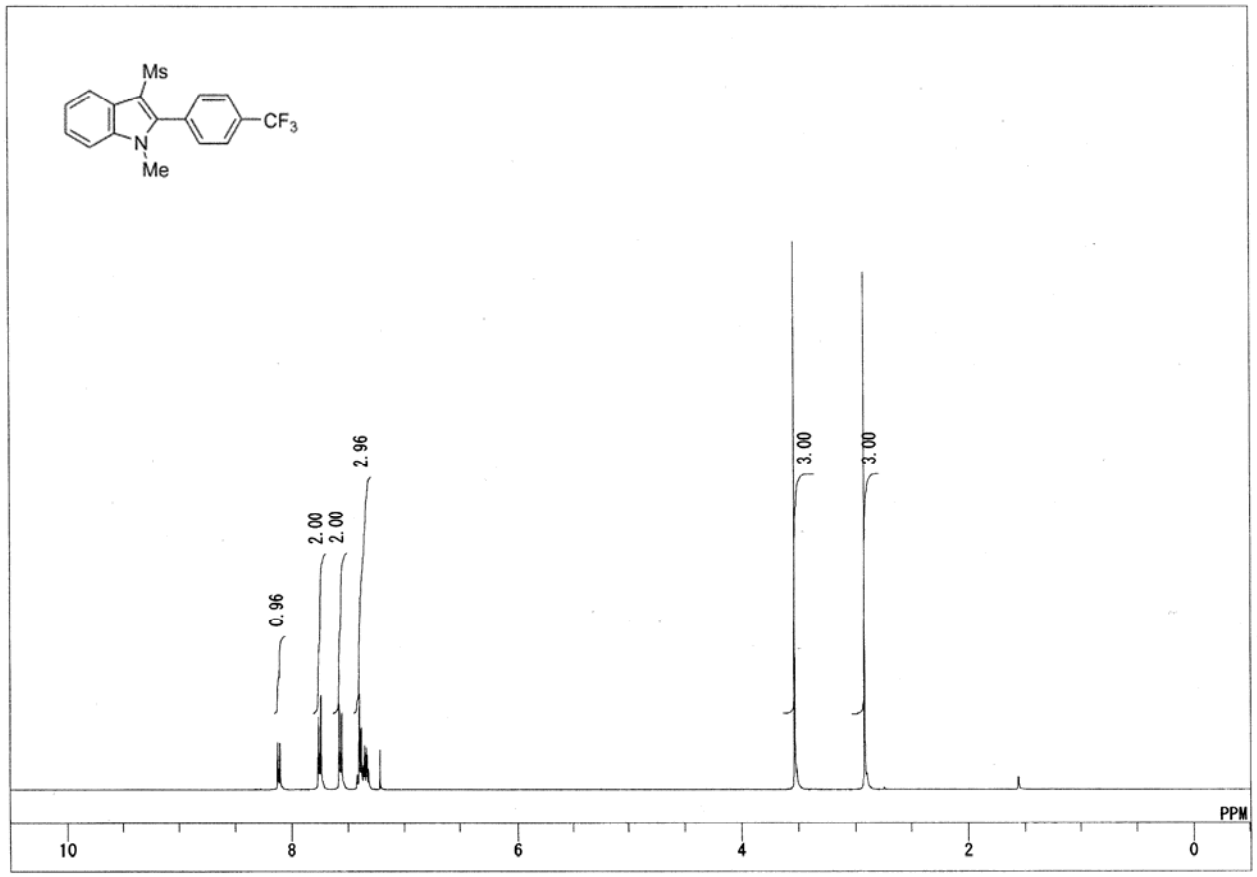


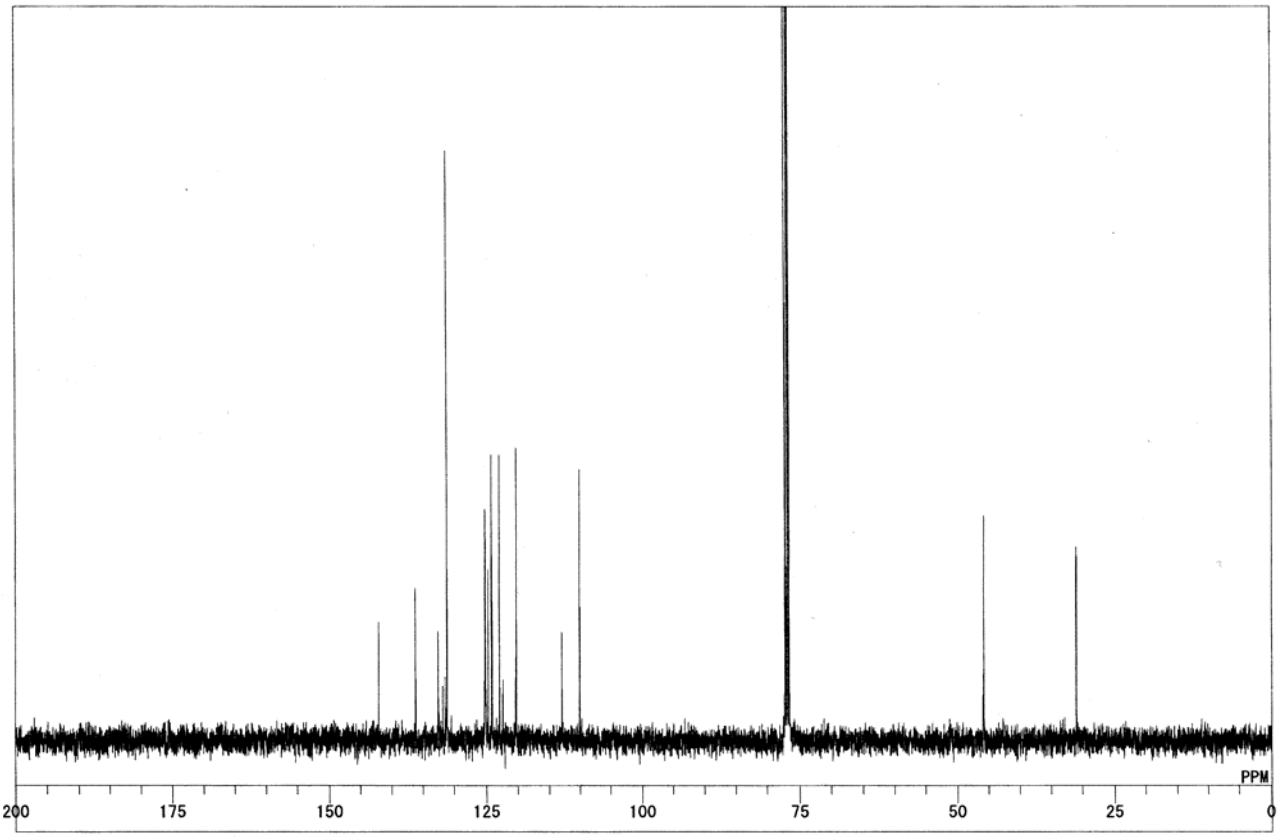
3-Mesyl-2-(*p*-methoxyphenyl)-1-methylindole (2g)



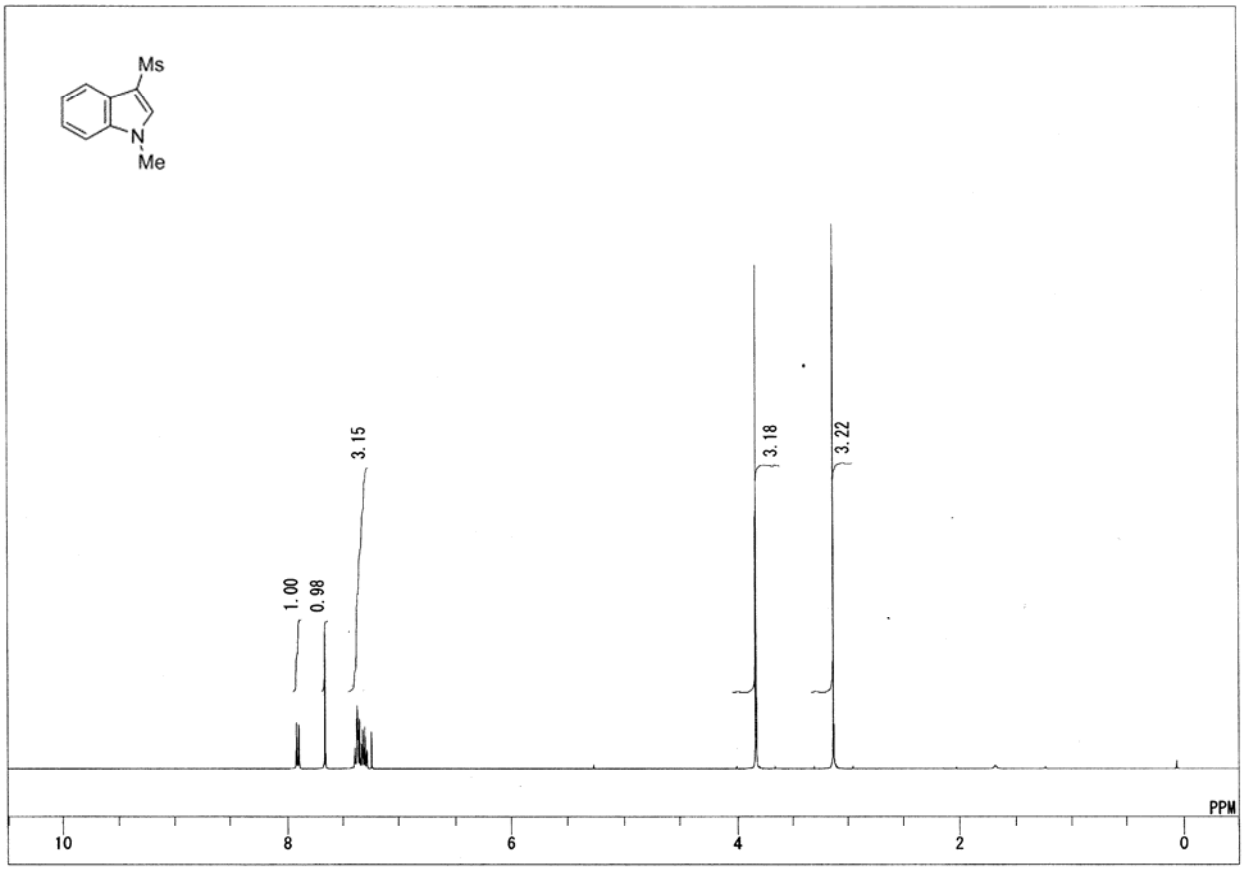


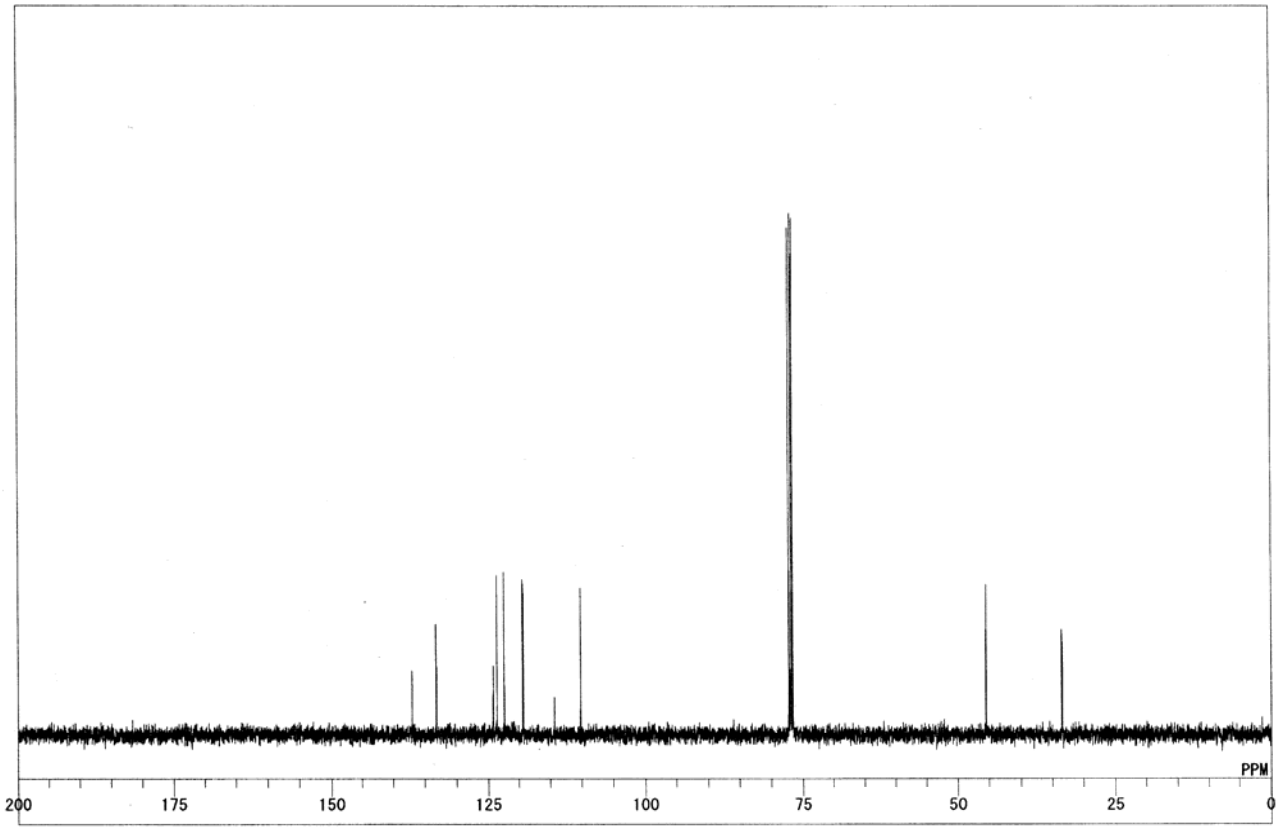
3-Mesyl-1-methyl-2-{*p*-(trifluoromethyl)phenyl}indole (2h).



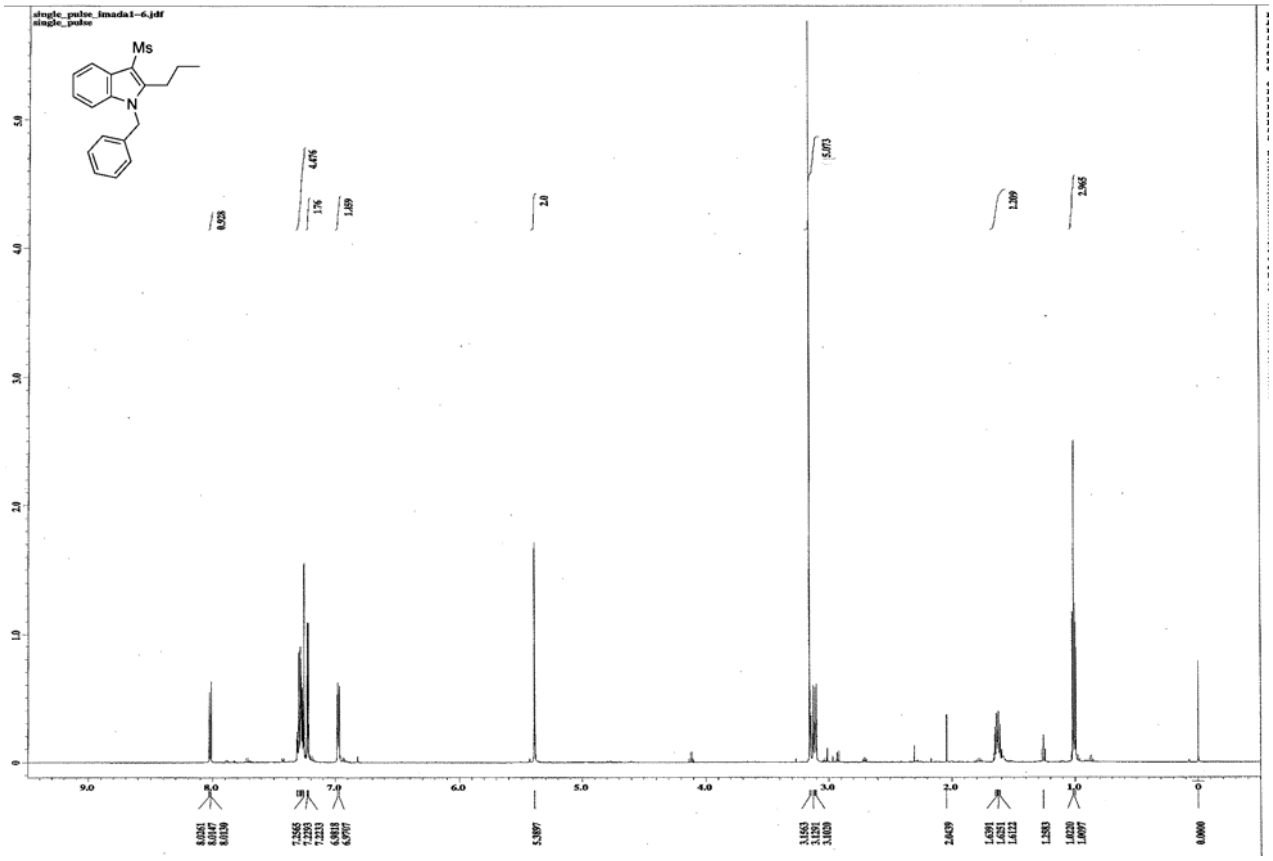


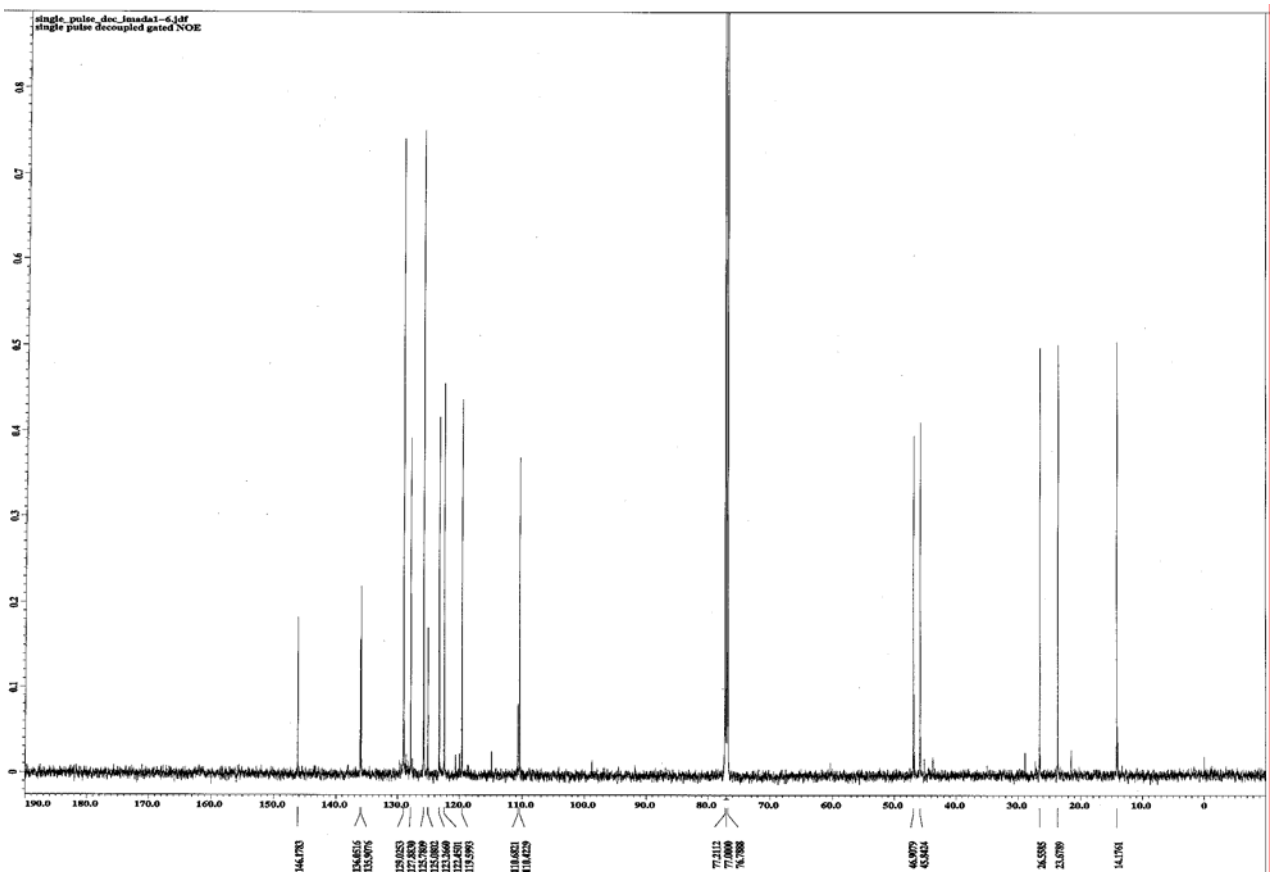
3-Mesyl-1-methylindole (2i).



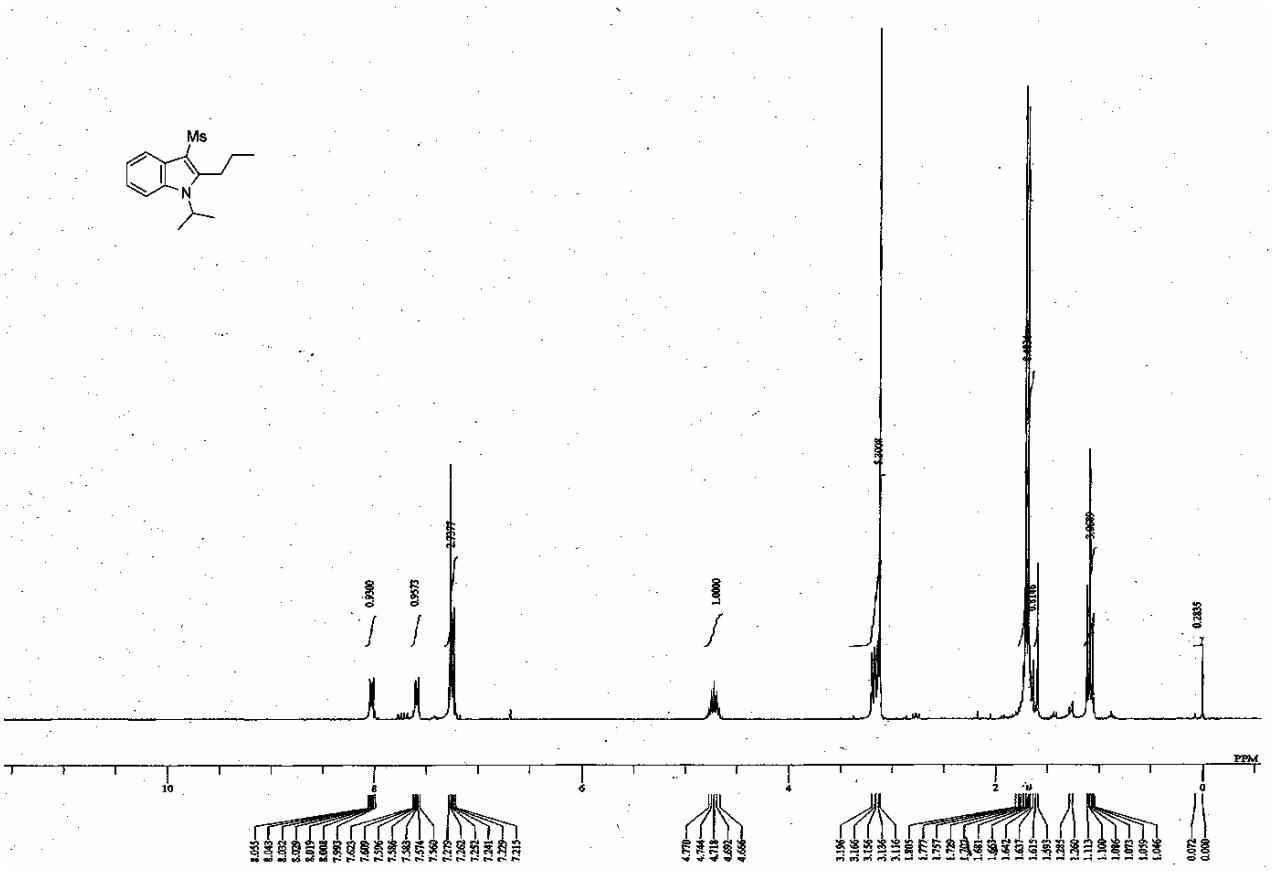


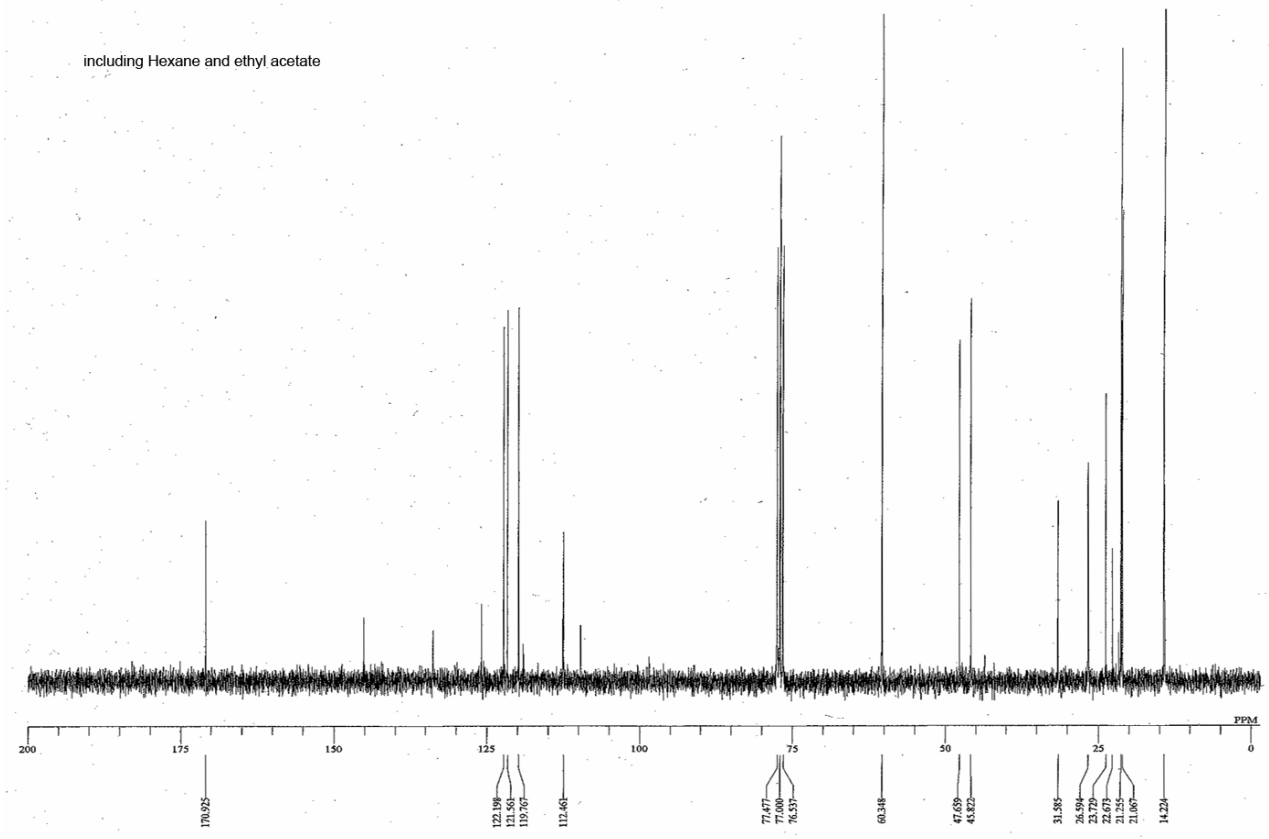
1-Benzyl-2-mesyl-3-propylindole (2k).



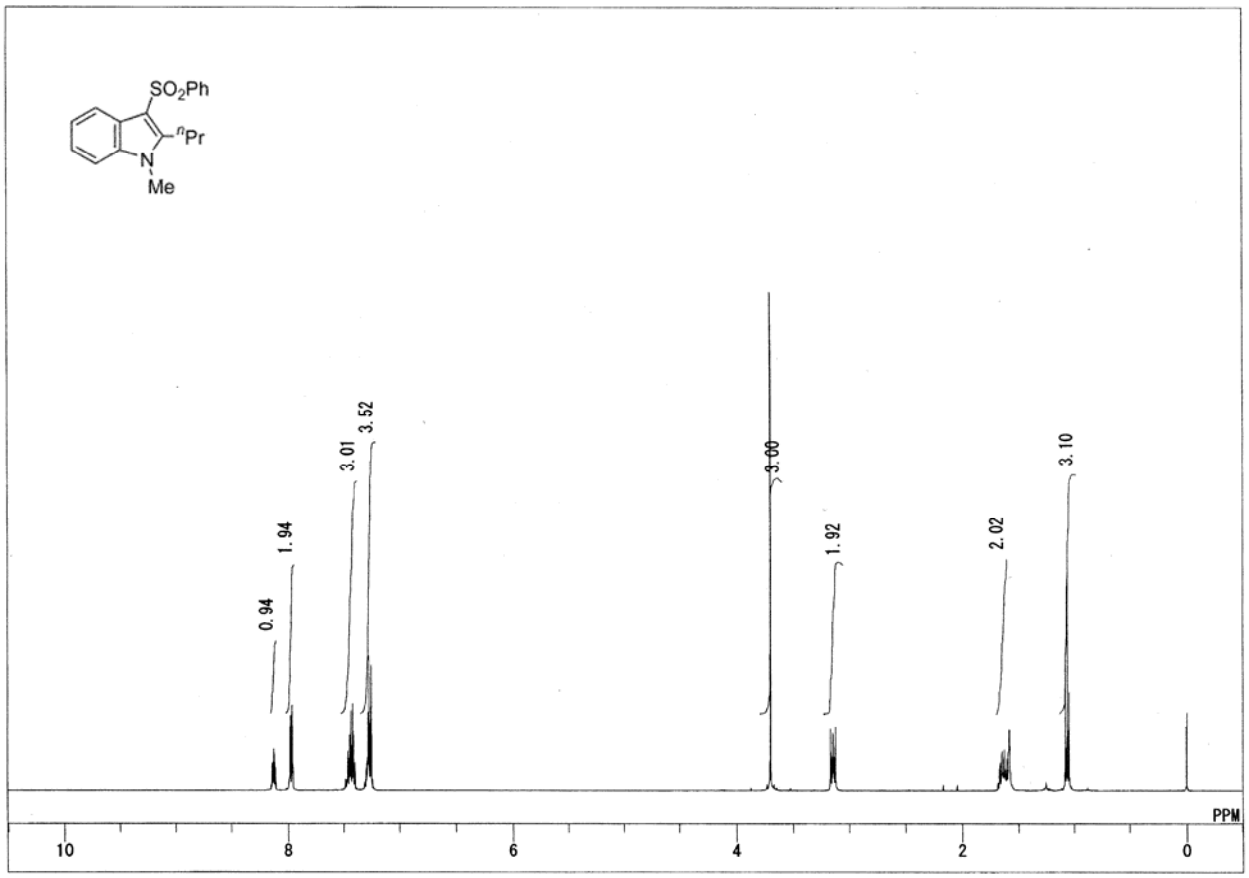


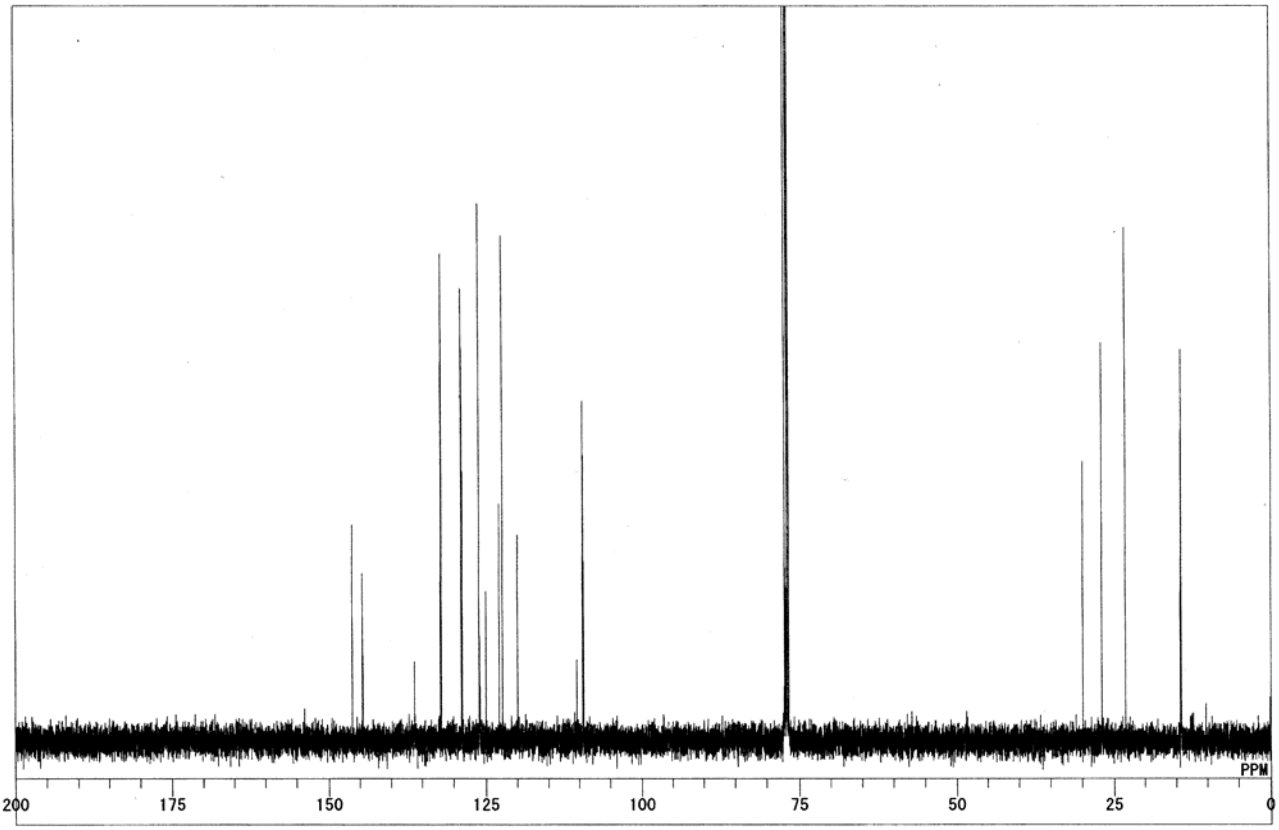
1-Isopropyl-3-mesyl-2-propylindole (2l).



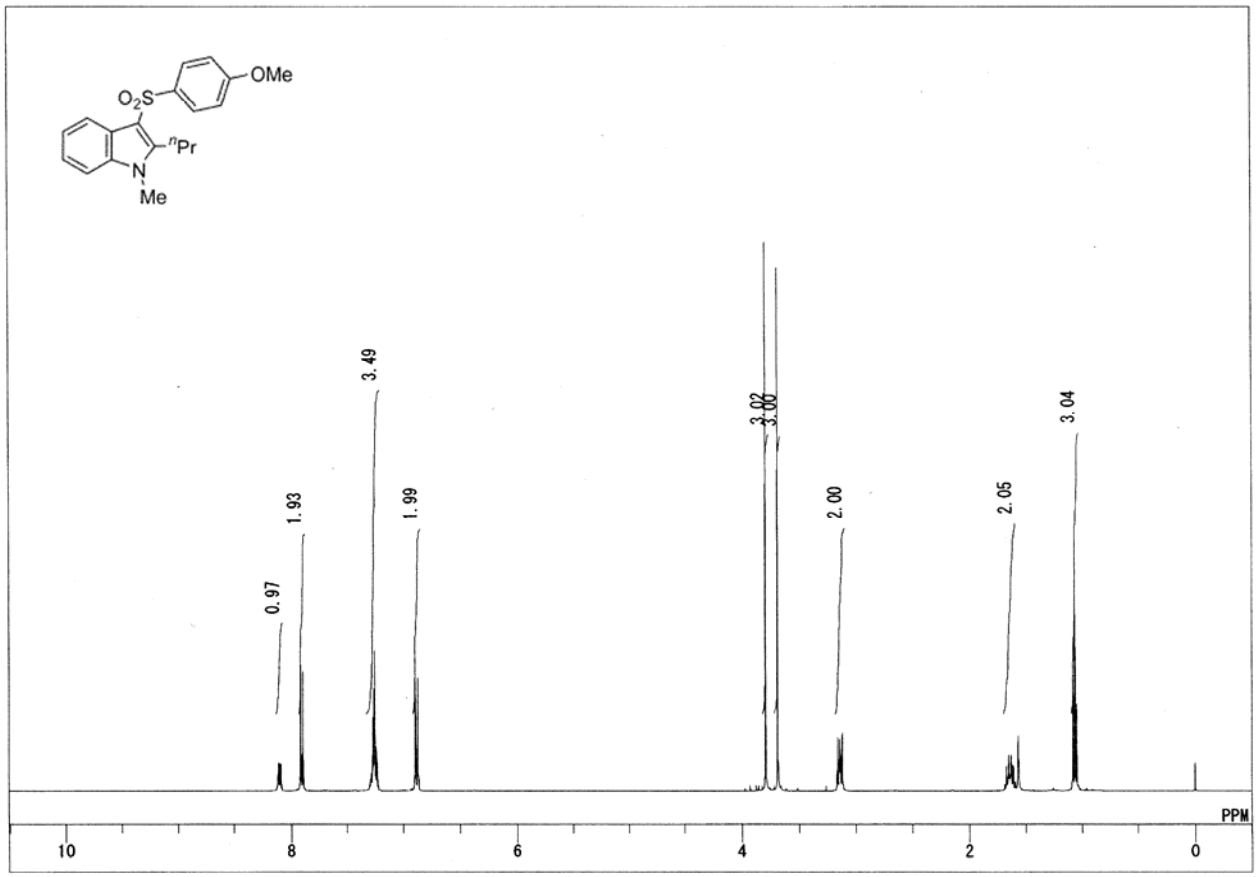


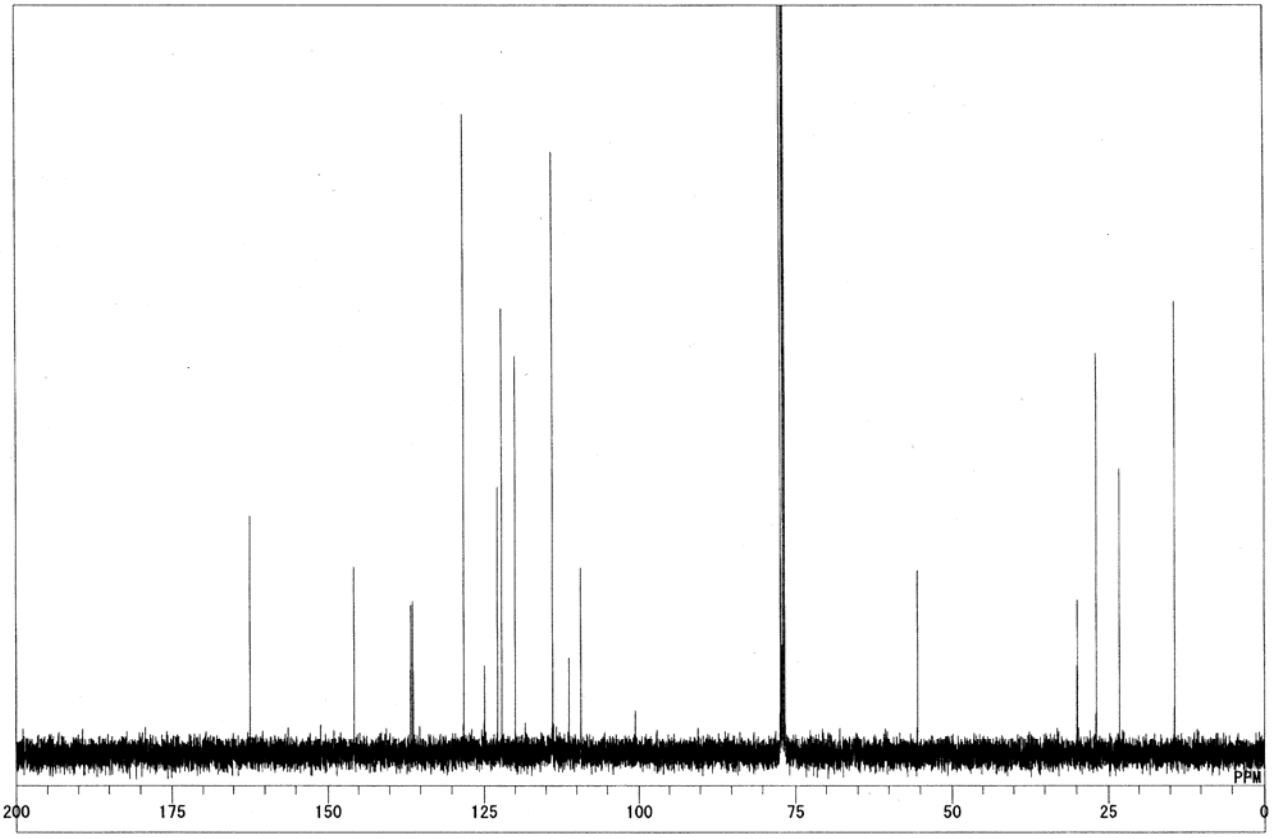
3-Benzenesulfonyl-1-methyl-2-propylindole (2m).



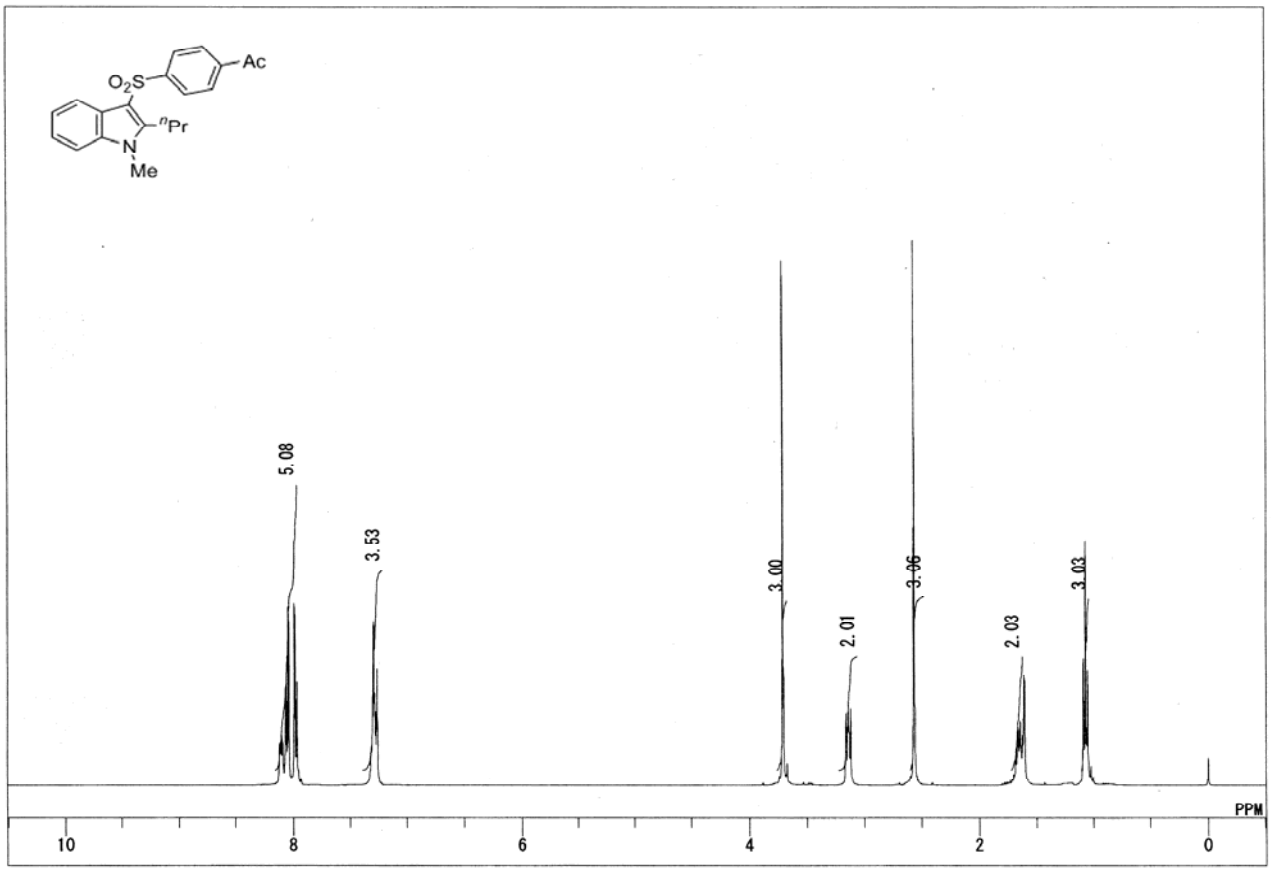


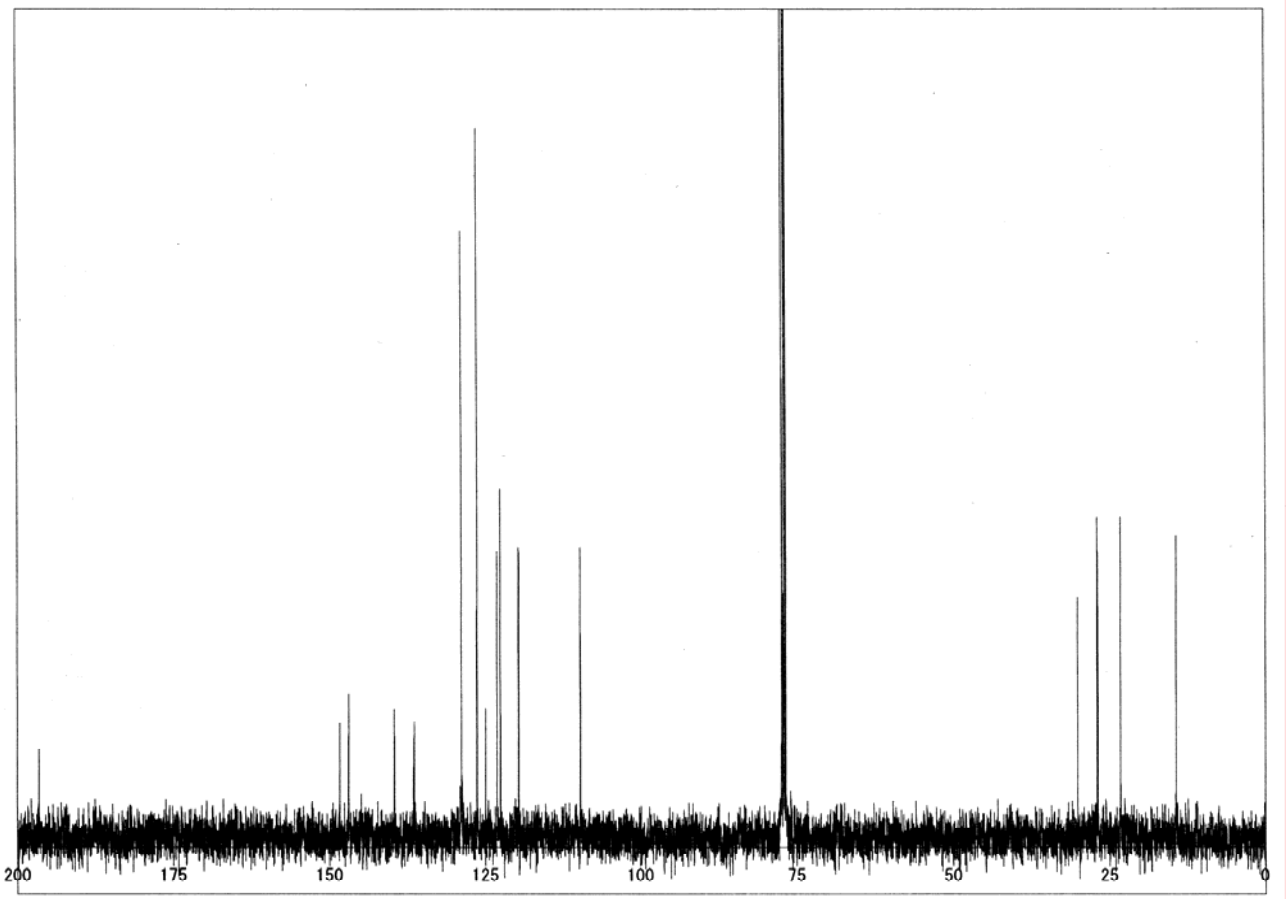
3-(*p*-Methoxybenzene)sulfonyl-1-methyl-2-propylindole (2n).



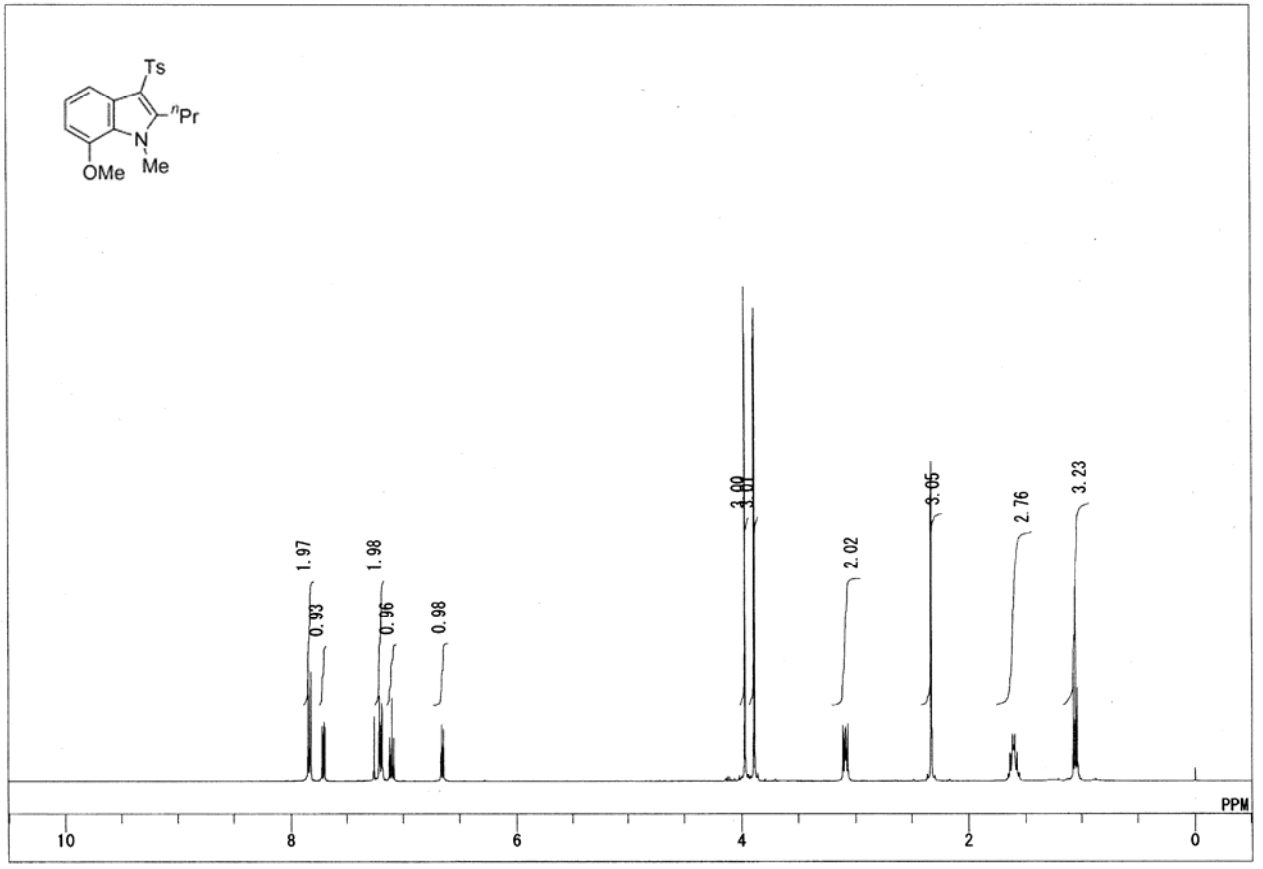


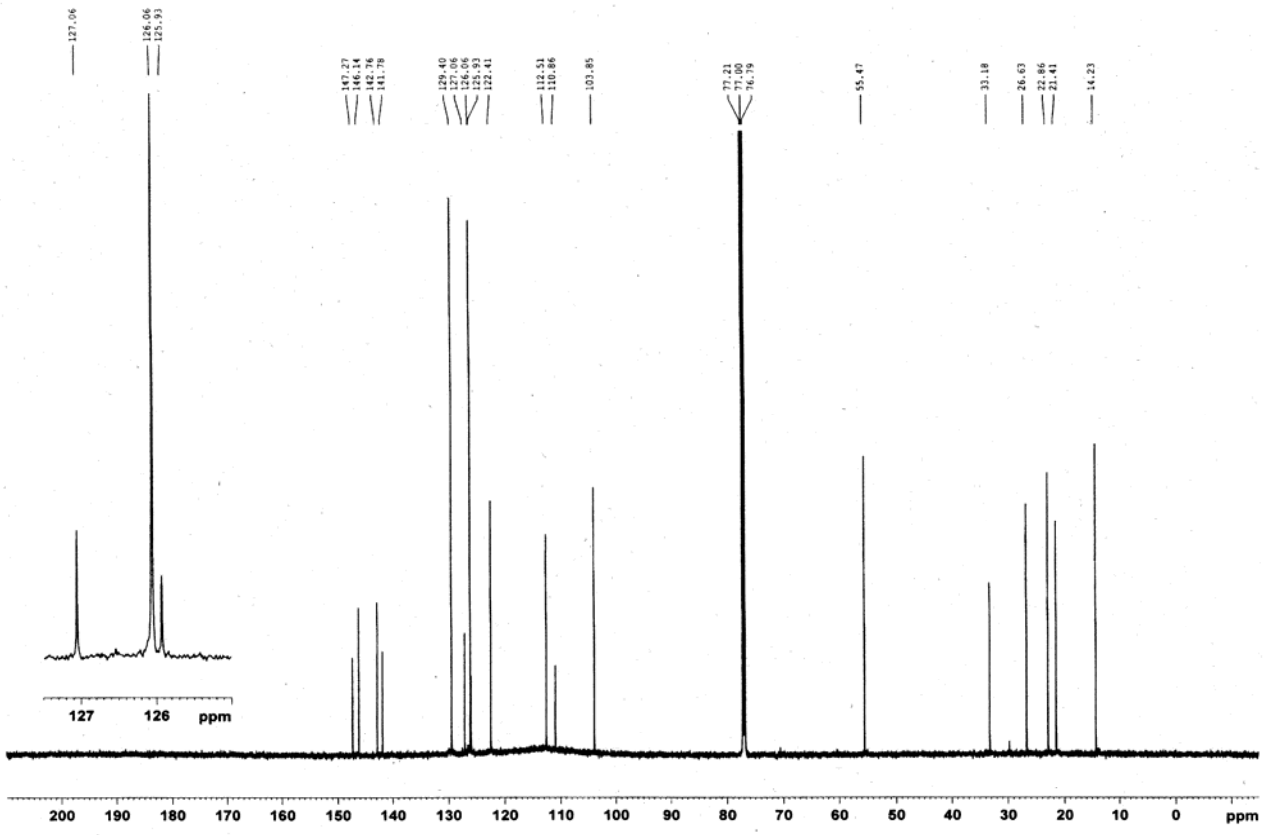
3-(*p*-Acetylbenzenesulfonyl)-1-methyl-2-propylindole (2o).



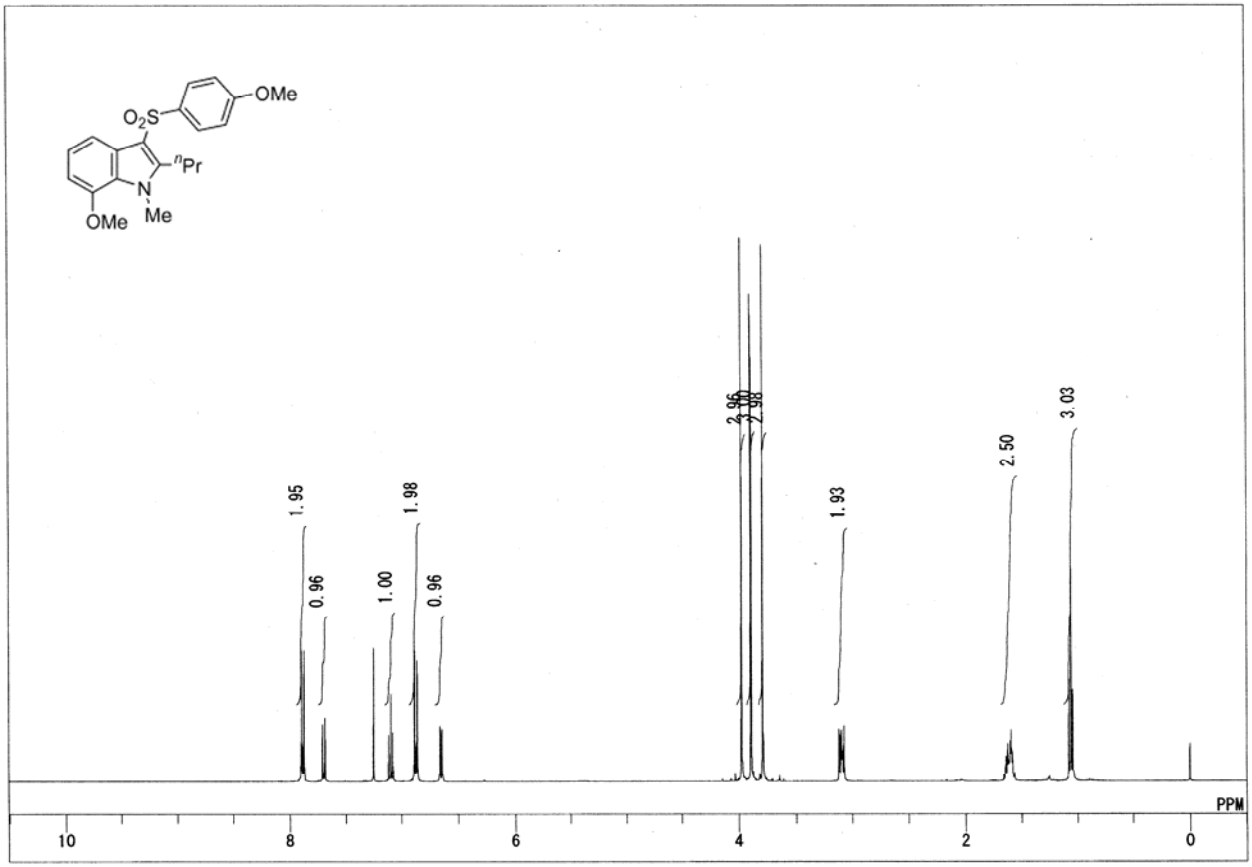


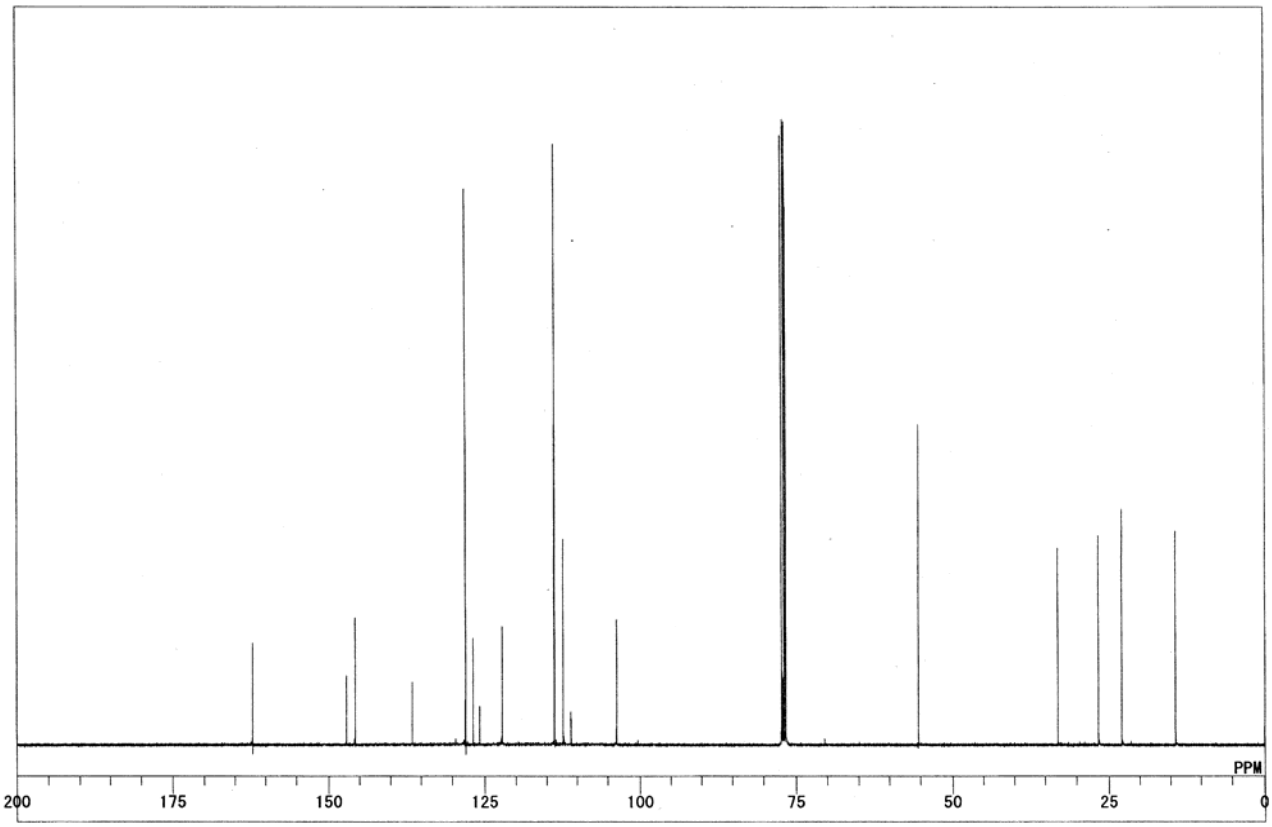
7-Methoxy-1-methyl-2-propyl-3-tosylindole (2p).



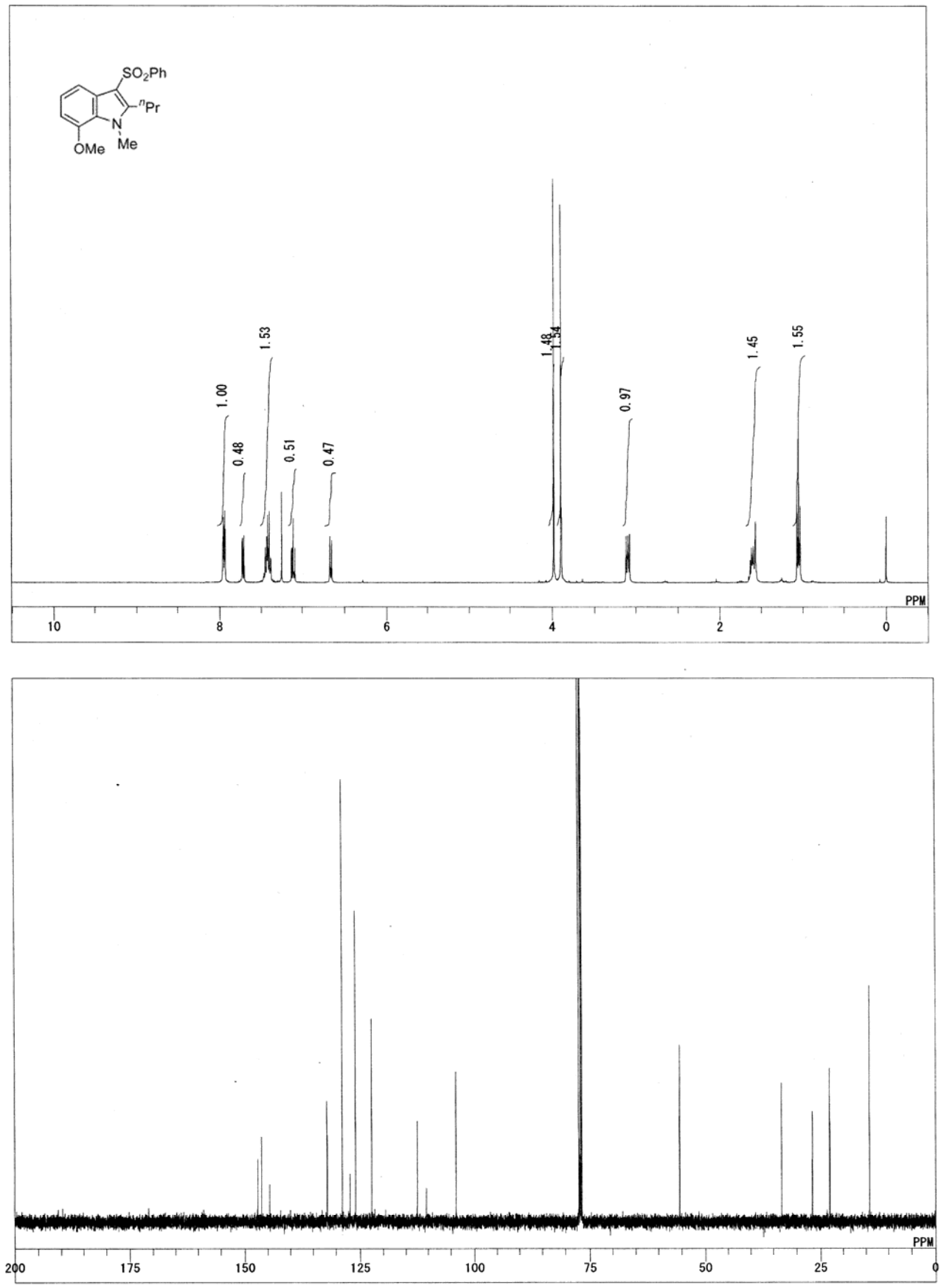


7-Methoxy-3-(*p*-methoxybenzenesulfonyl)-1-methyl-2-propylindole (2q).

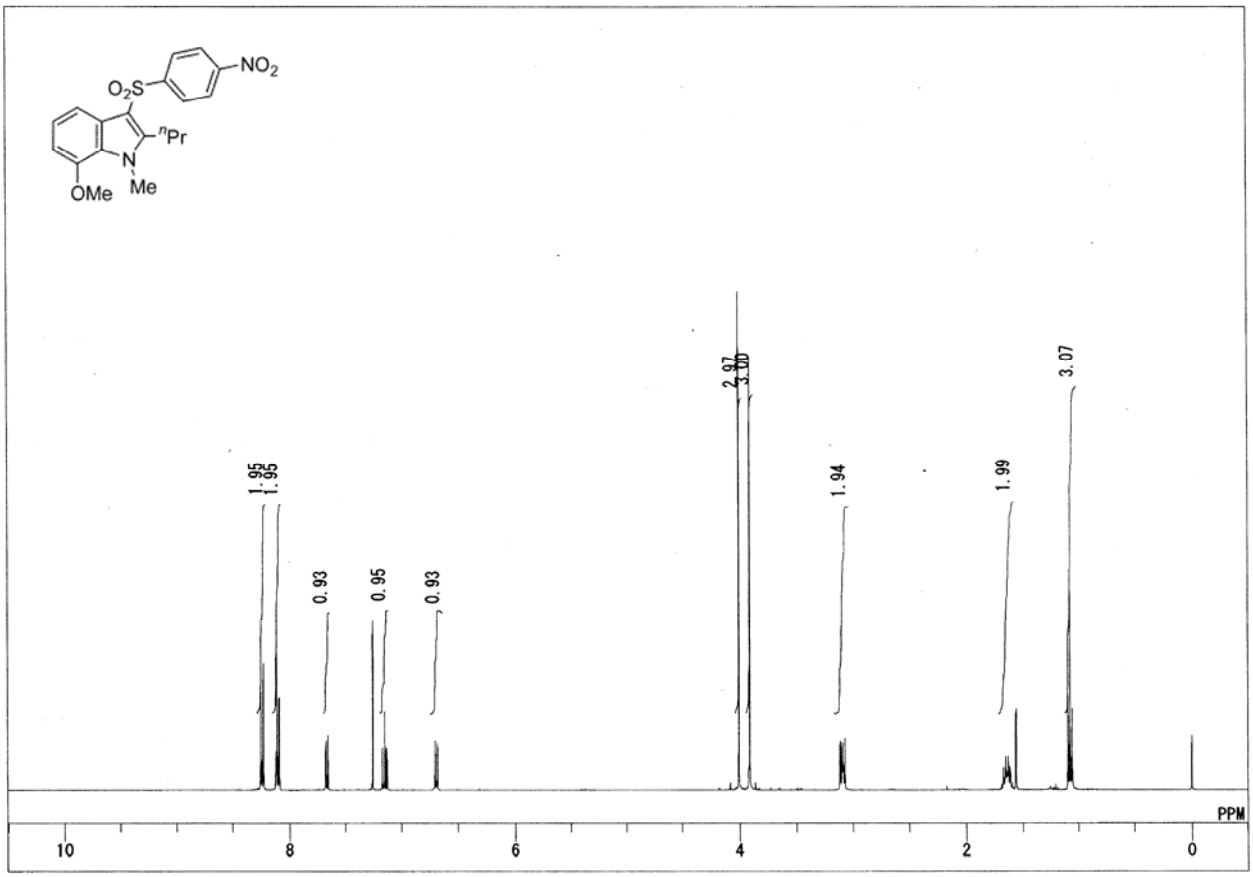


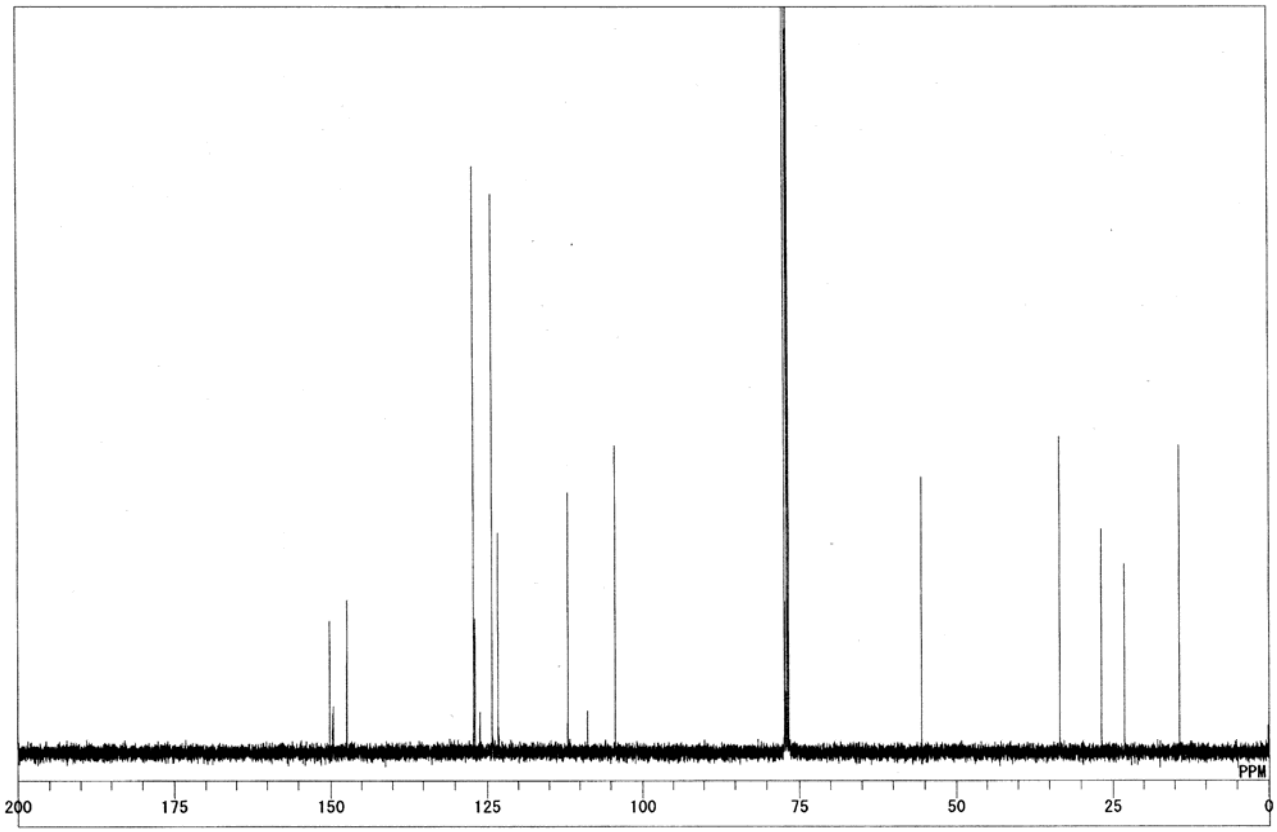


3-Benzenesulfonyl-7-methoxy-1-methyl-2-propylindole (2r).

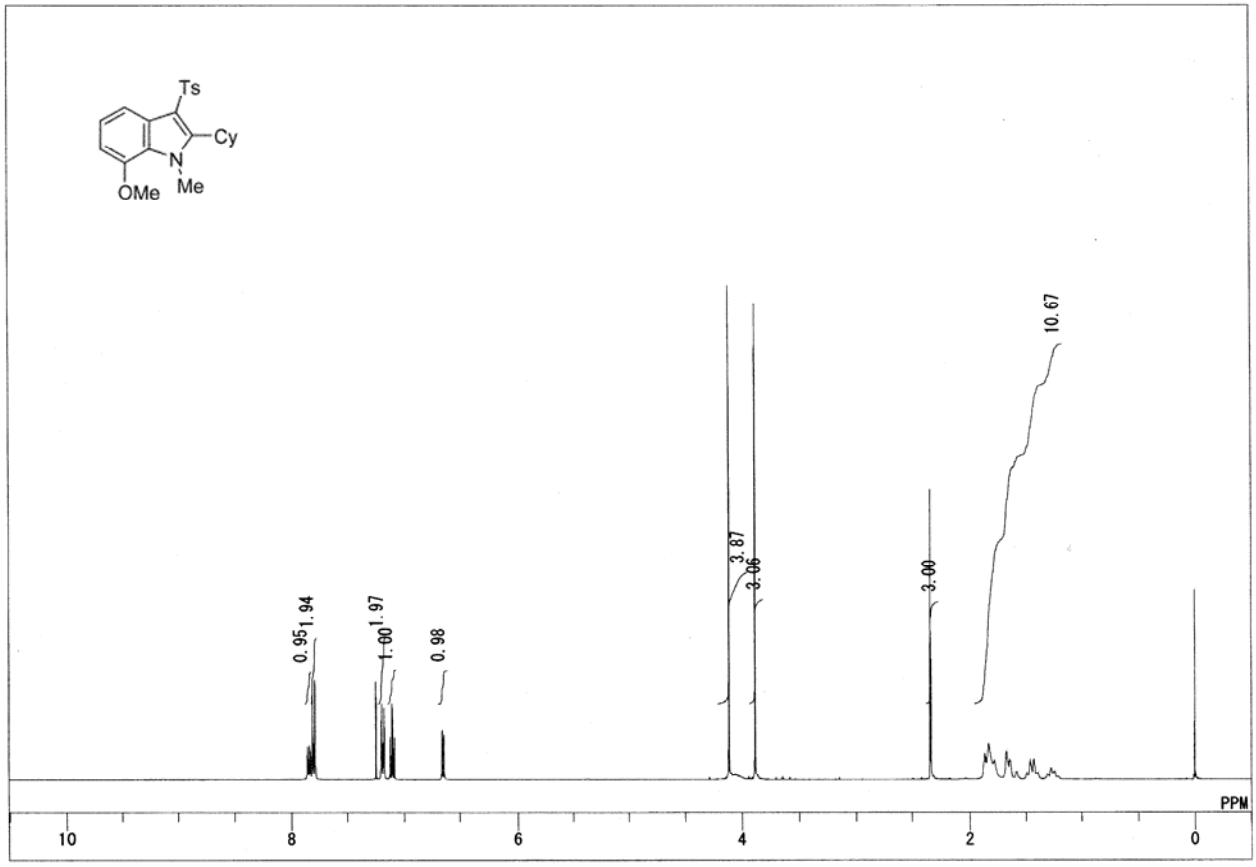


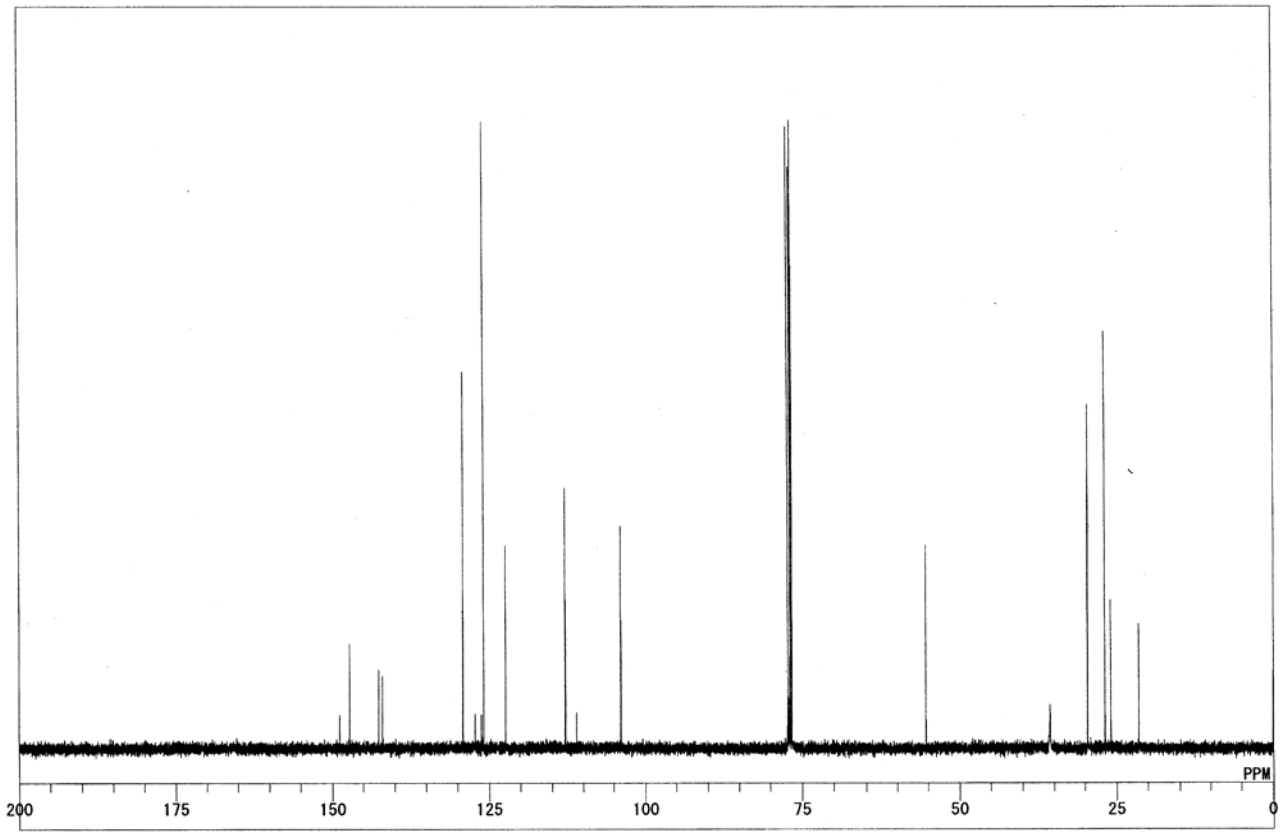
7-Methoxy-1-methyl-3-(*p*-nitrobenzenesulfonyl)-2-propylindole (2s).



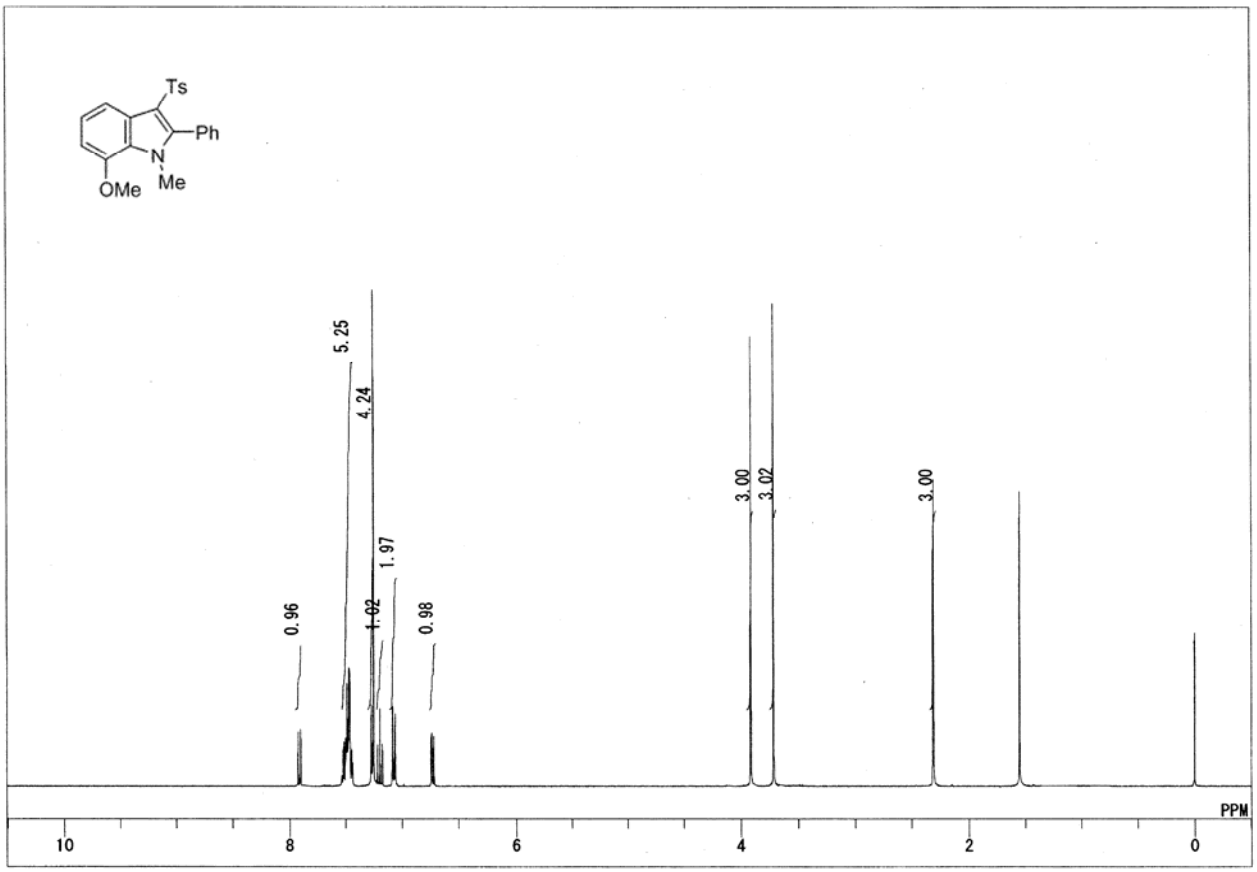


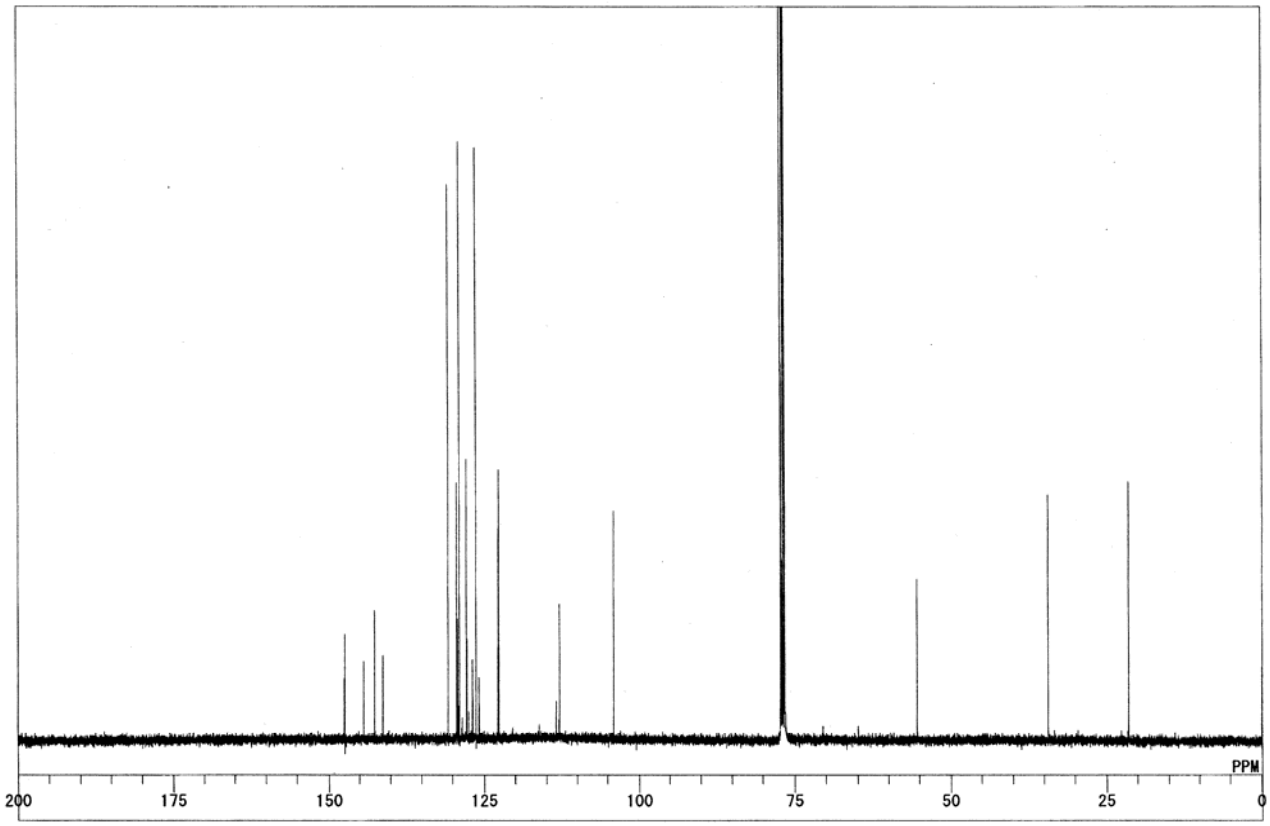
2-Cyclohexyl-7-methoxy-1-methyl-3-tosylindole (2t).



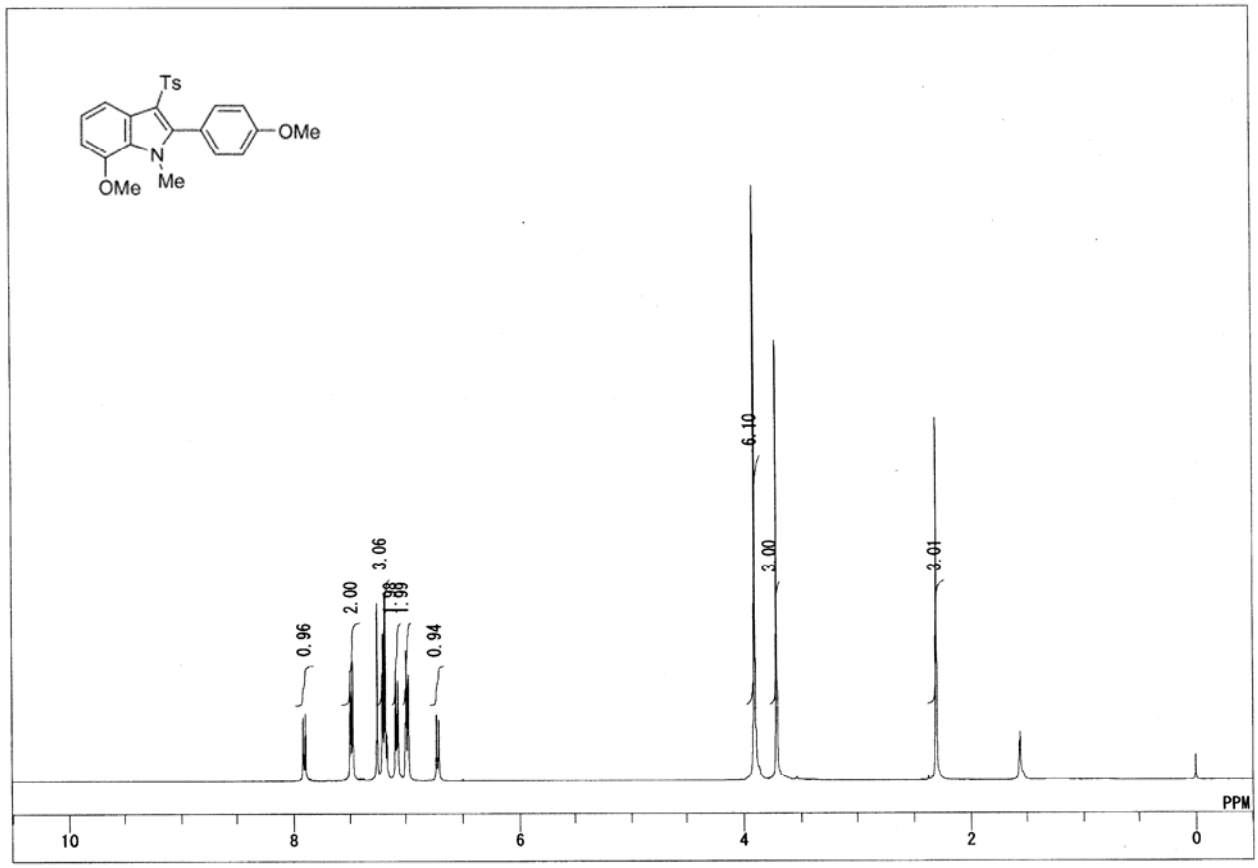


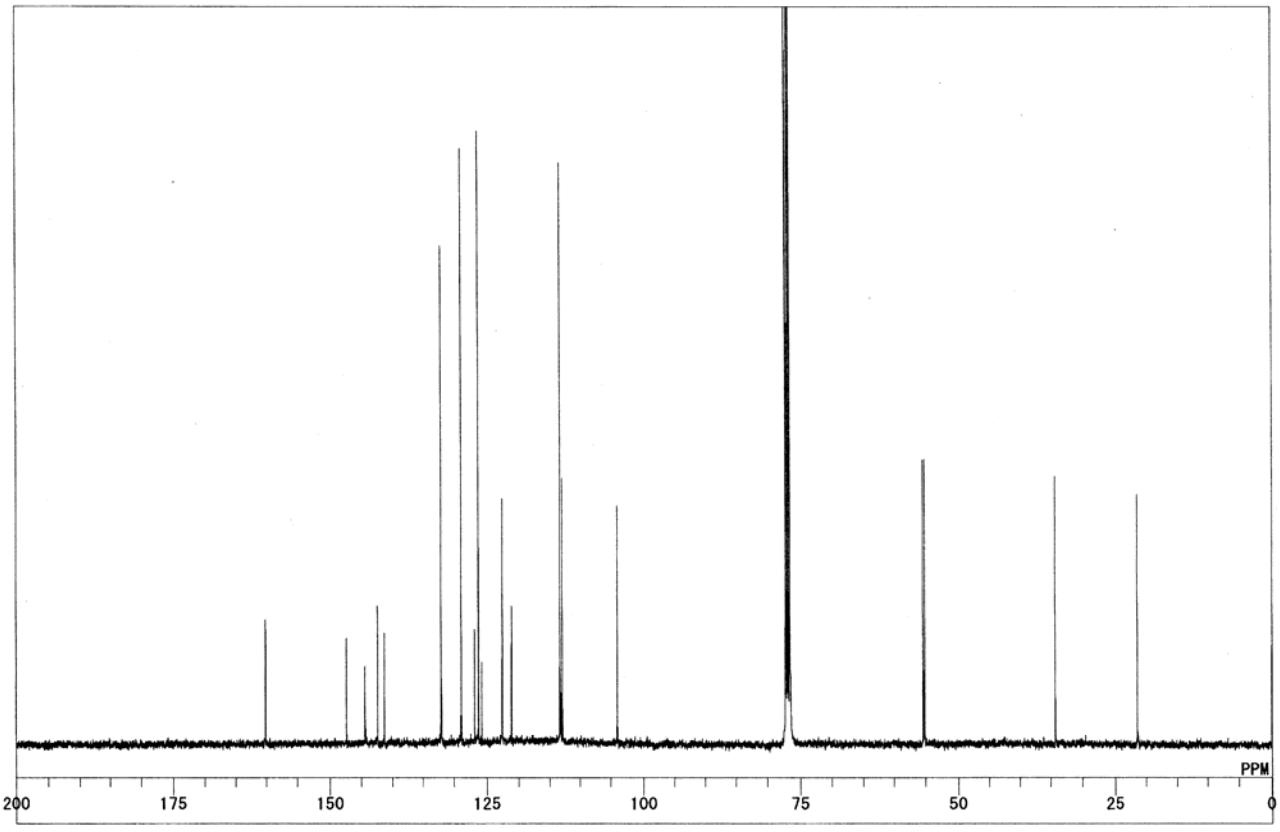
7-Methoxy-1-methyl-2-phenyl-3-tosylindole (2u).



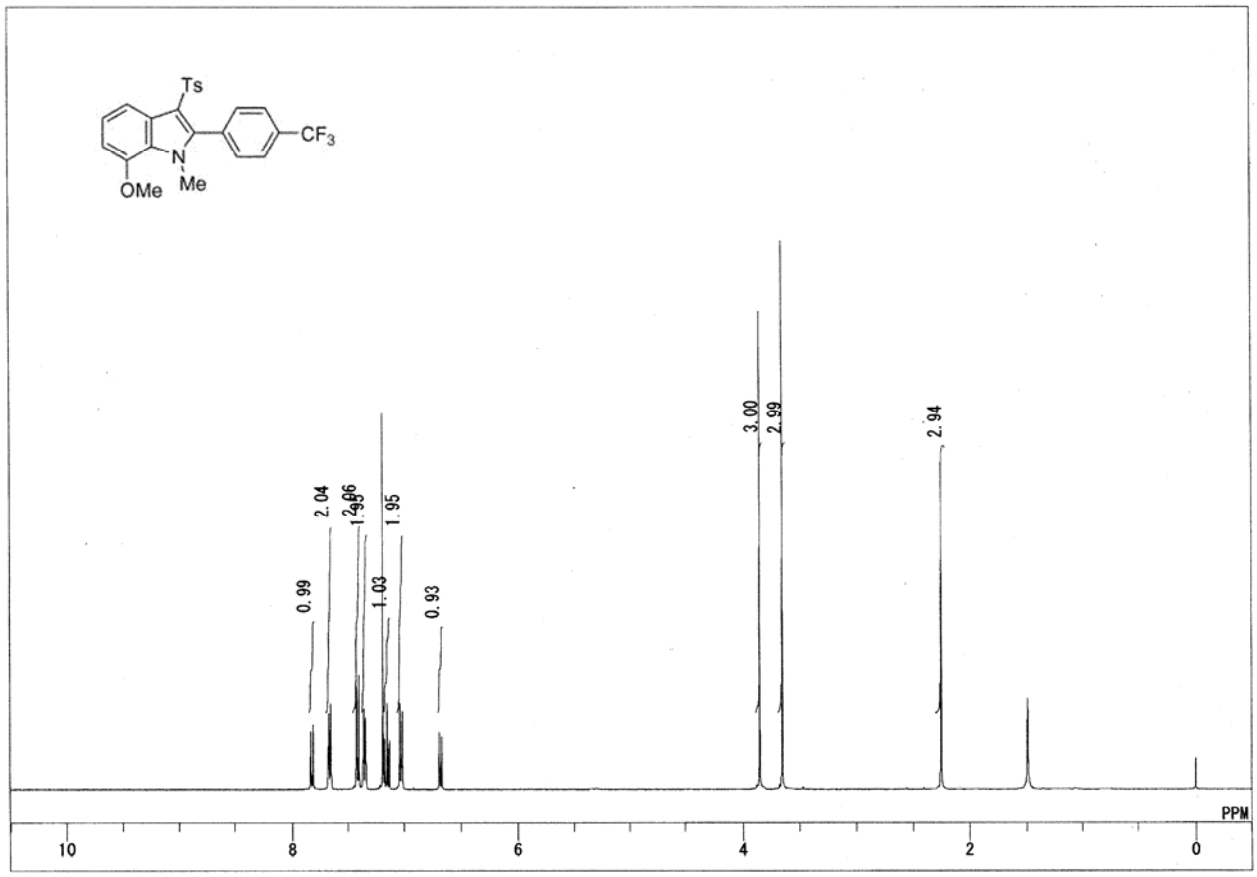


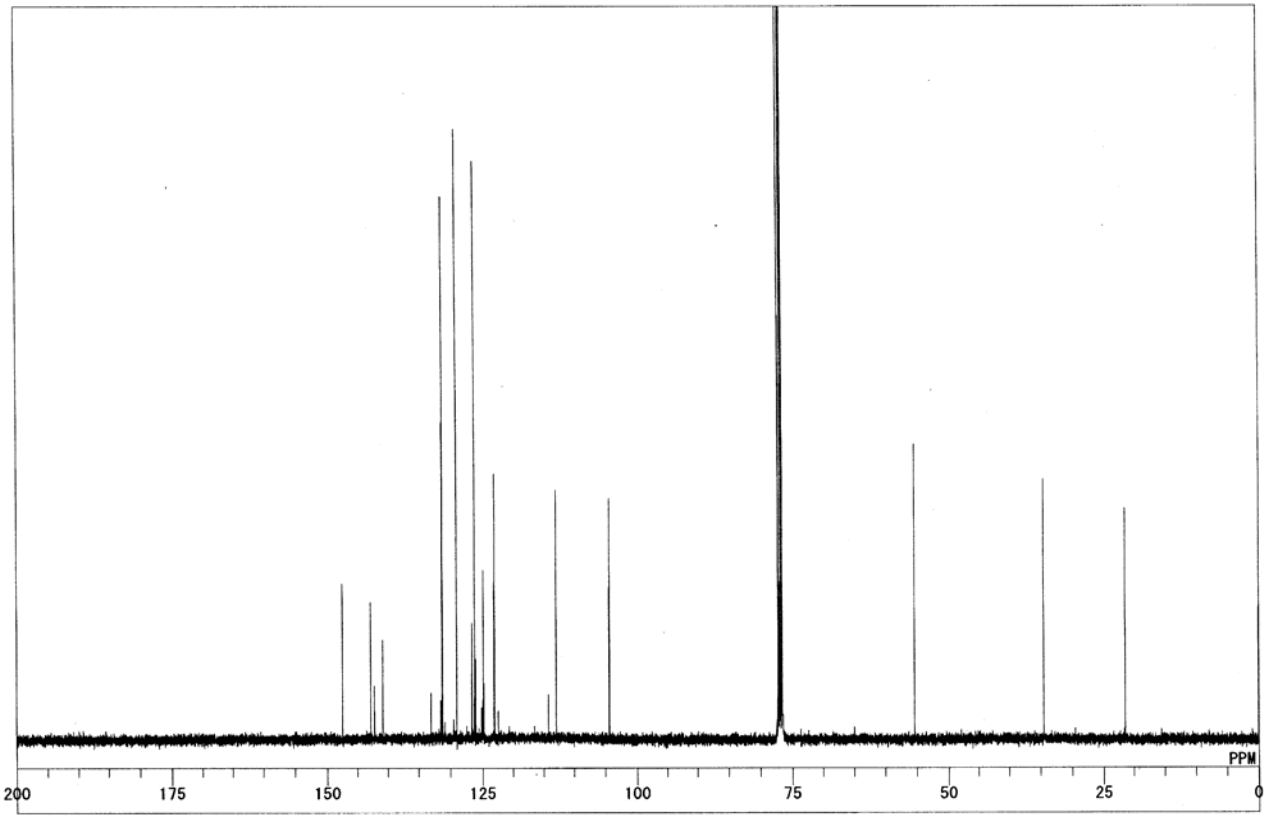
7-Methoxy-2-(*p*-methoxyphenyl)-1-methyl-3-tosylindole (2v).



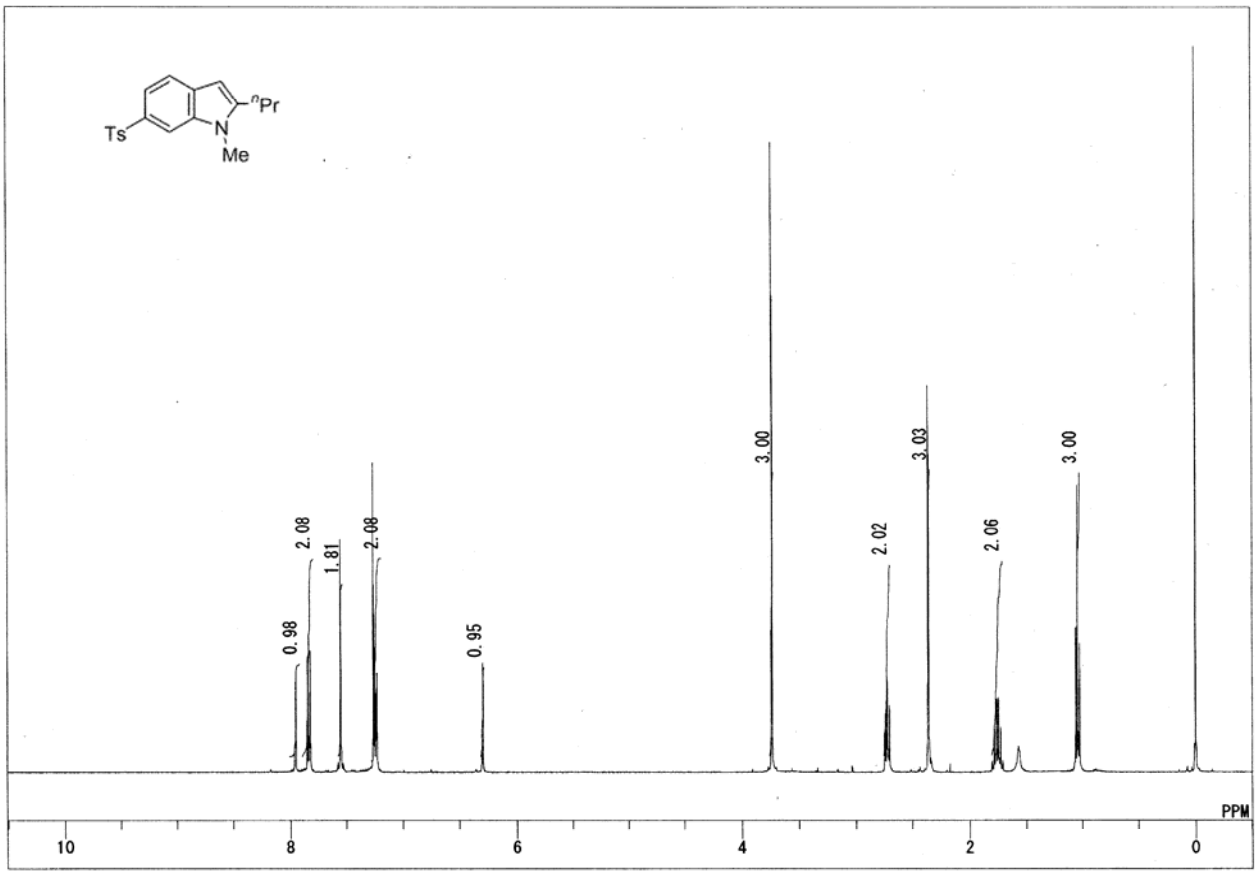


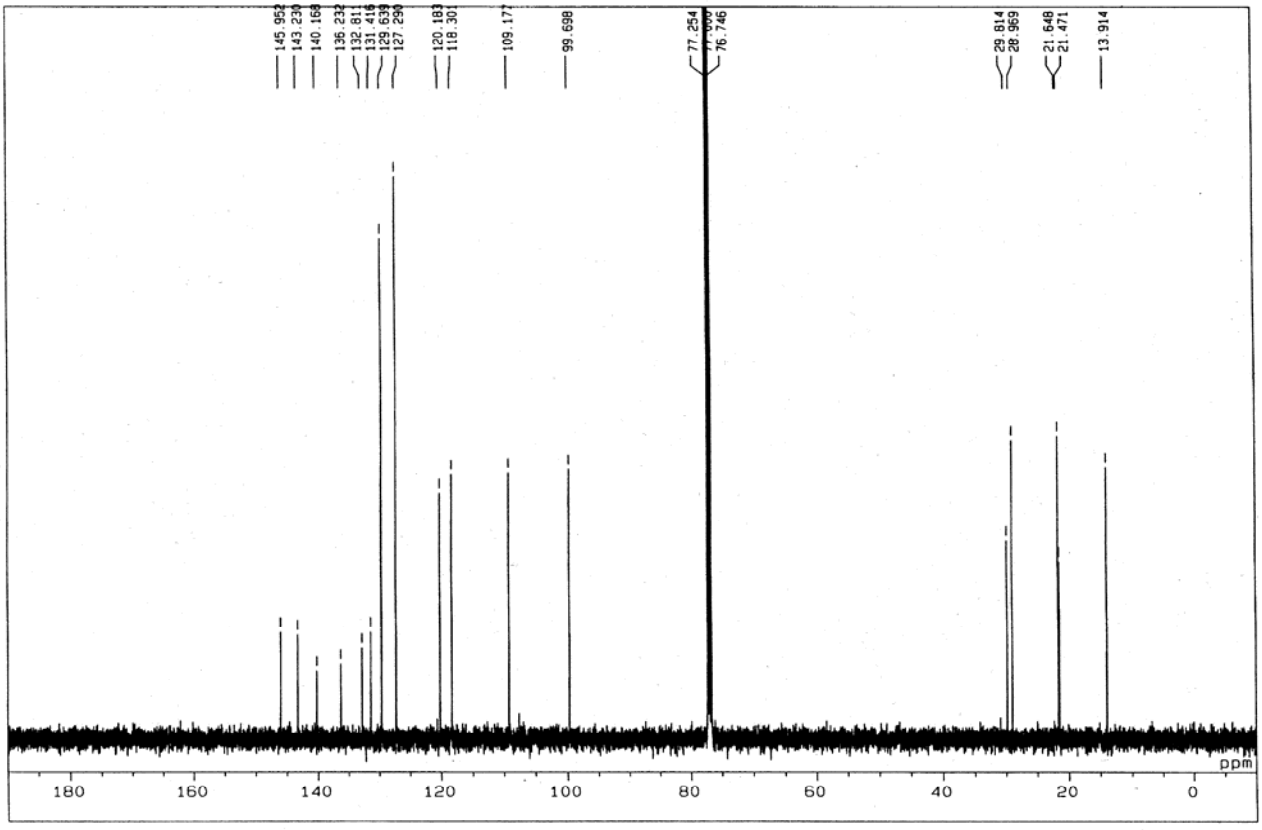
7-Methoxy-1-methyl-2-(trifluoromethylphenyl)-3-tosylindole (2w).



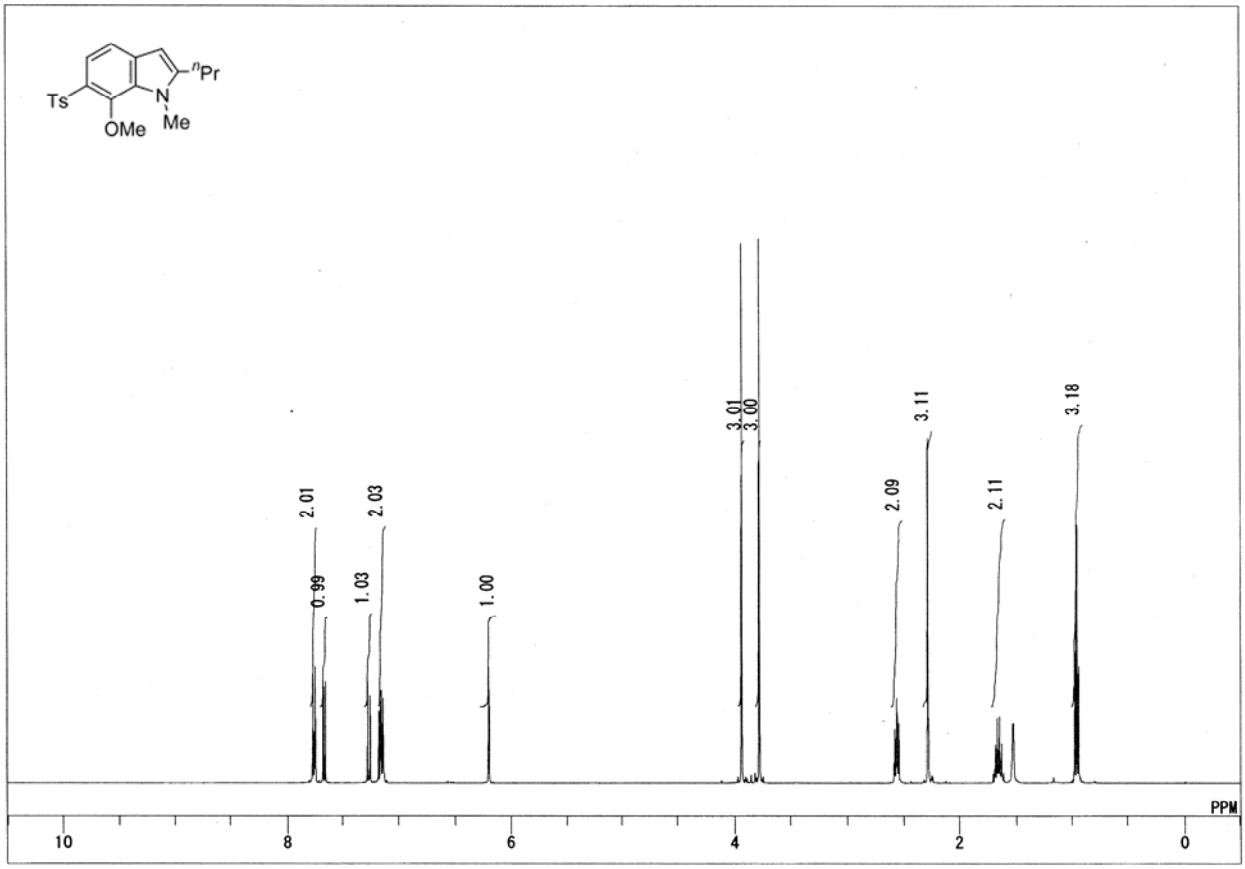


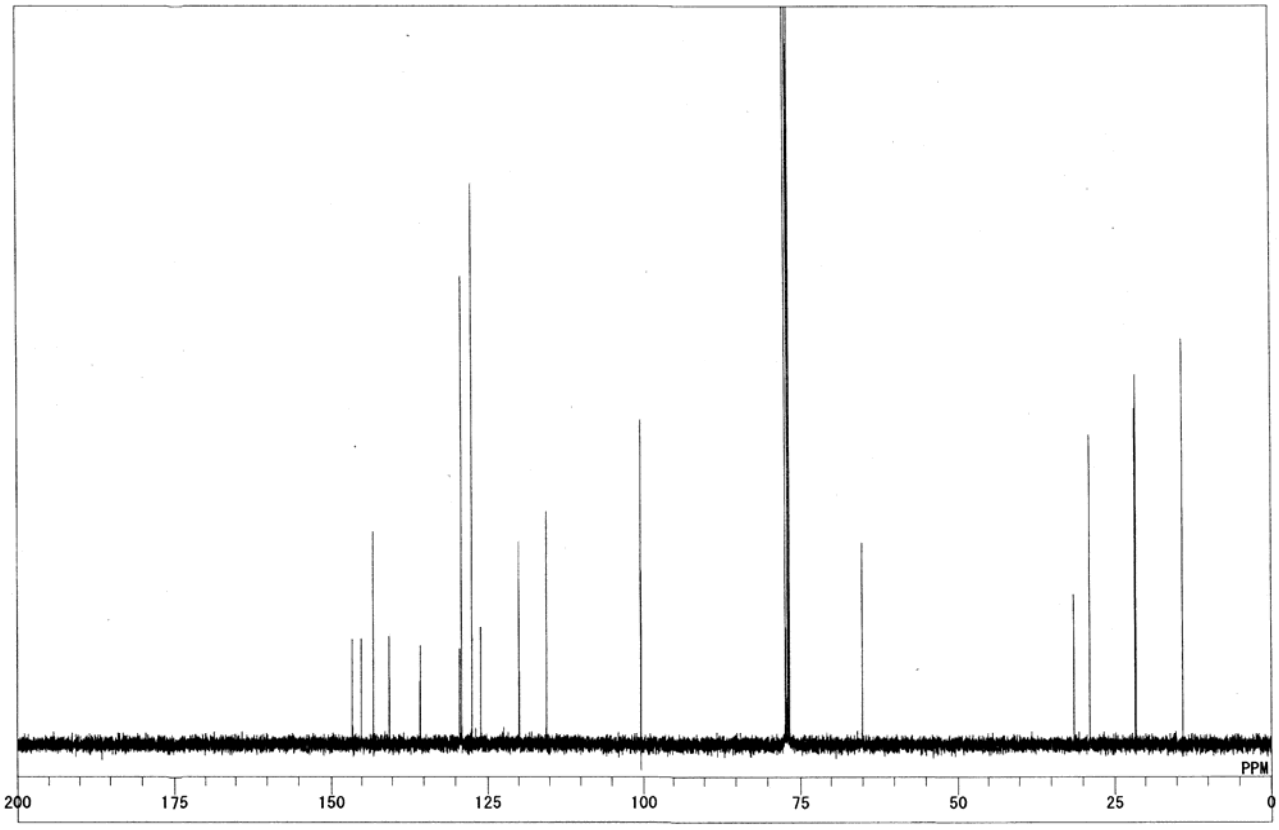
1-Methyl-2-propyl-6-tosylindole (3a).



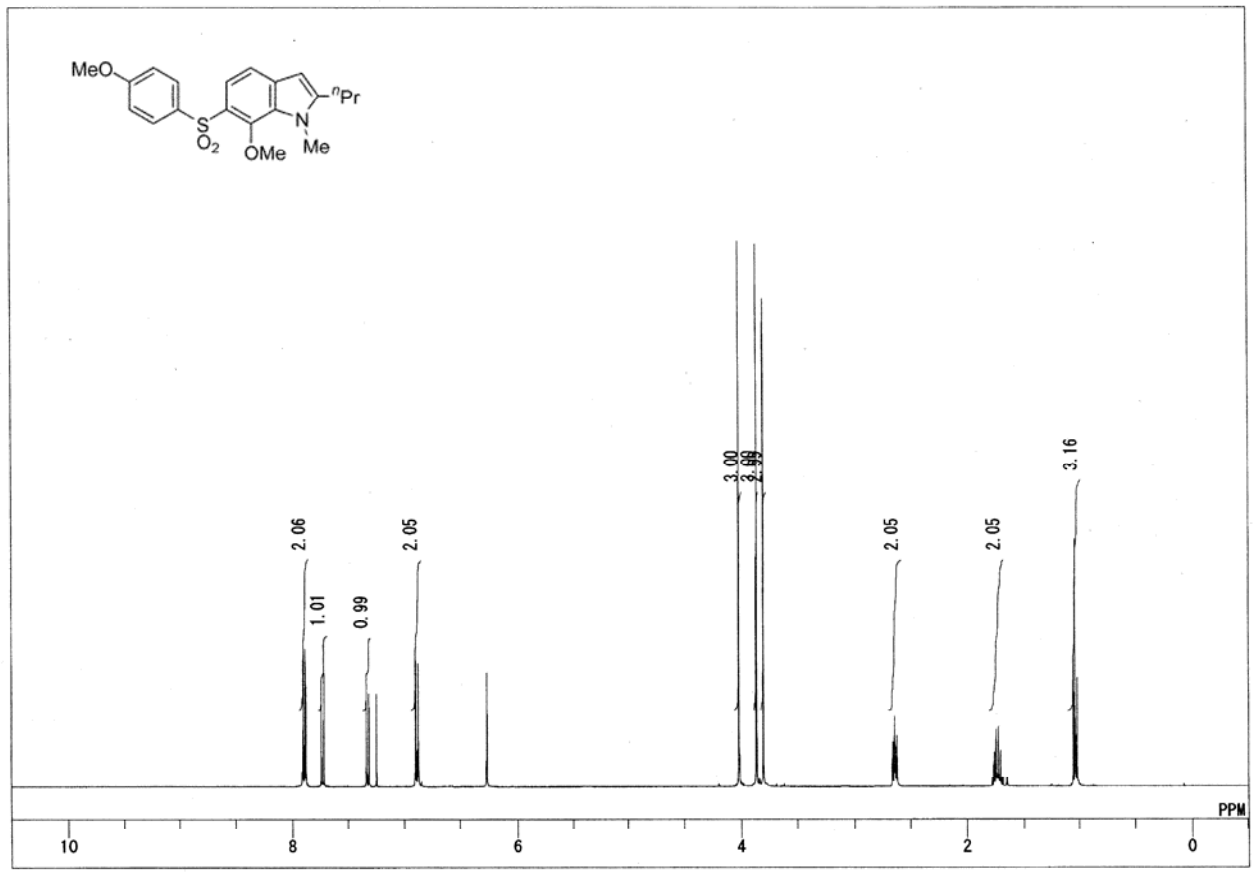


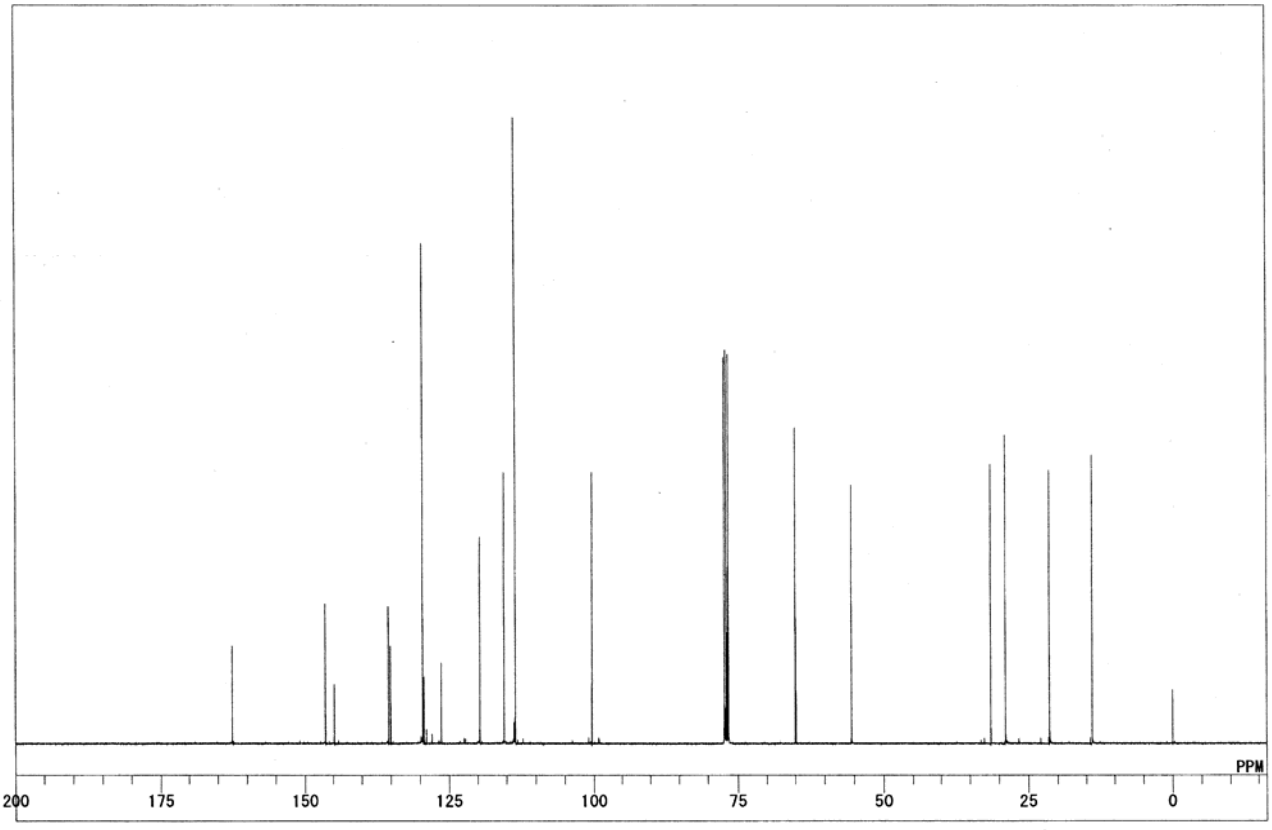
7-Methoxy-1-methyl-2-propyl-6-tosylindole (3p).



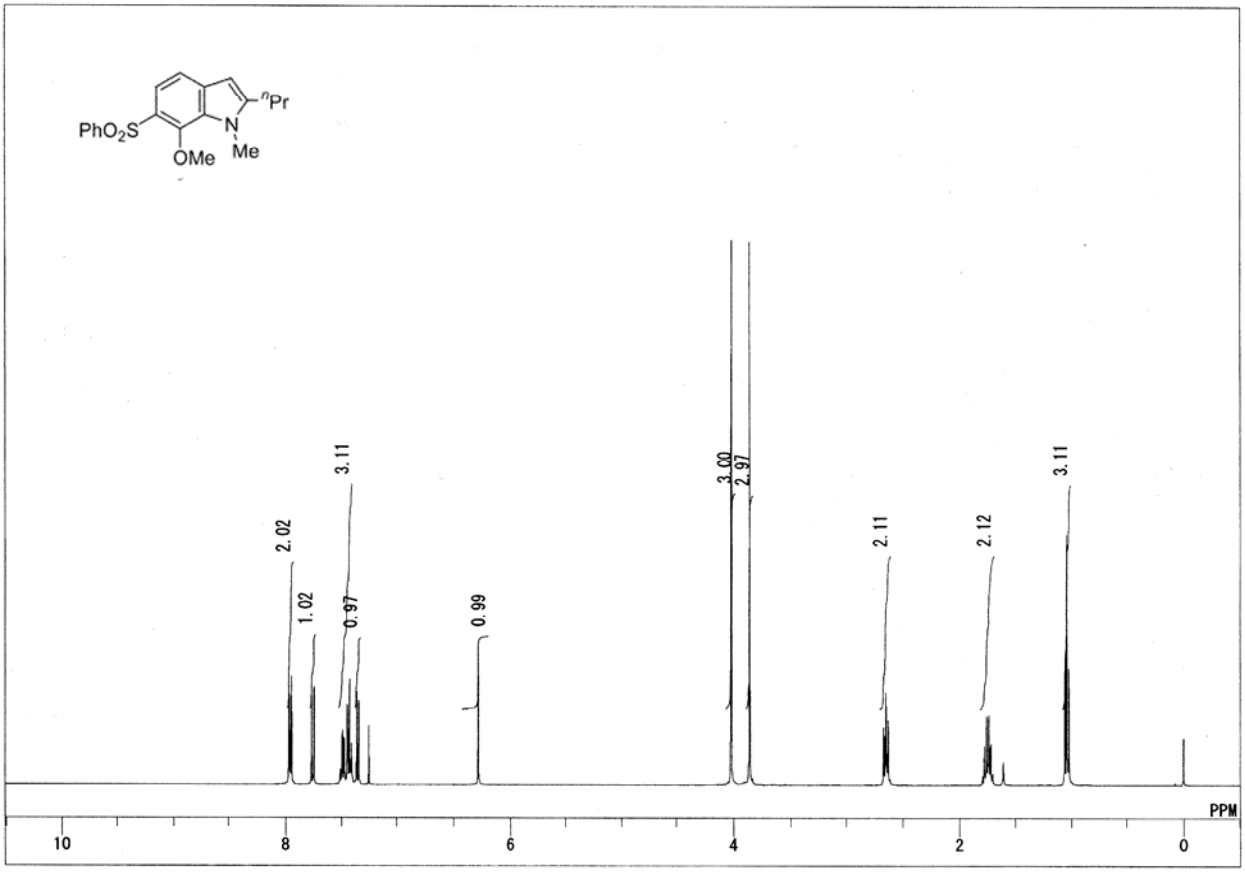


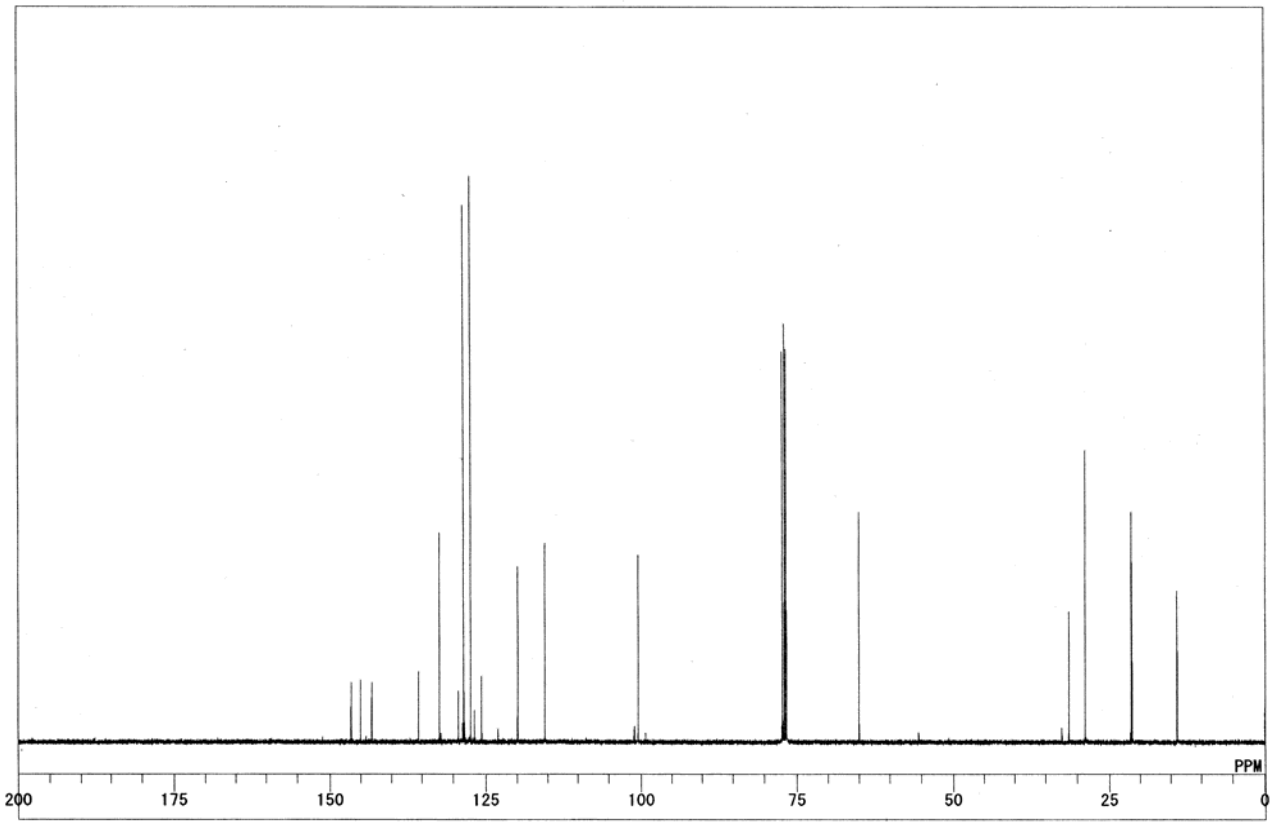
7-Methoxy-6-(*p*-methoxybenzenesulfonyl)-1-methyl-2-propylindole (3q).



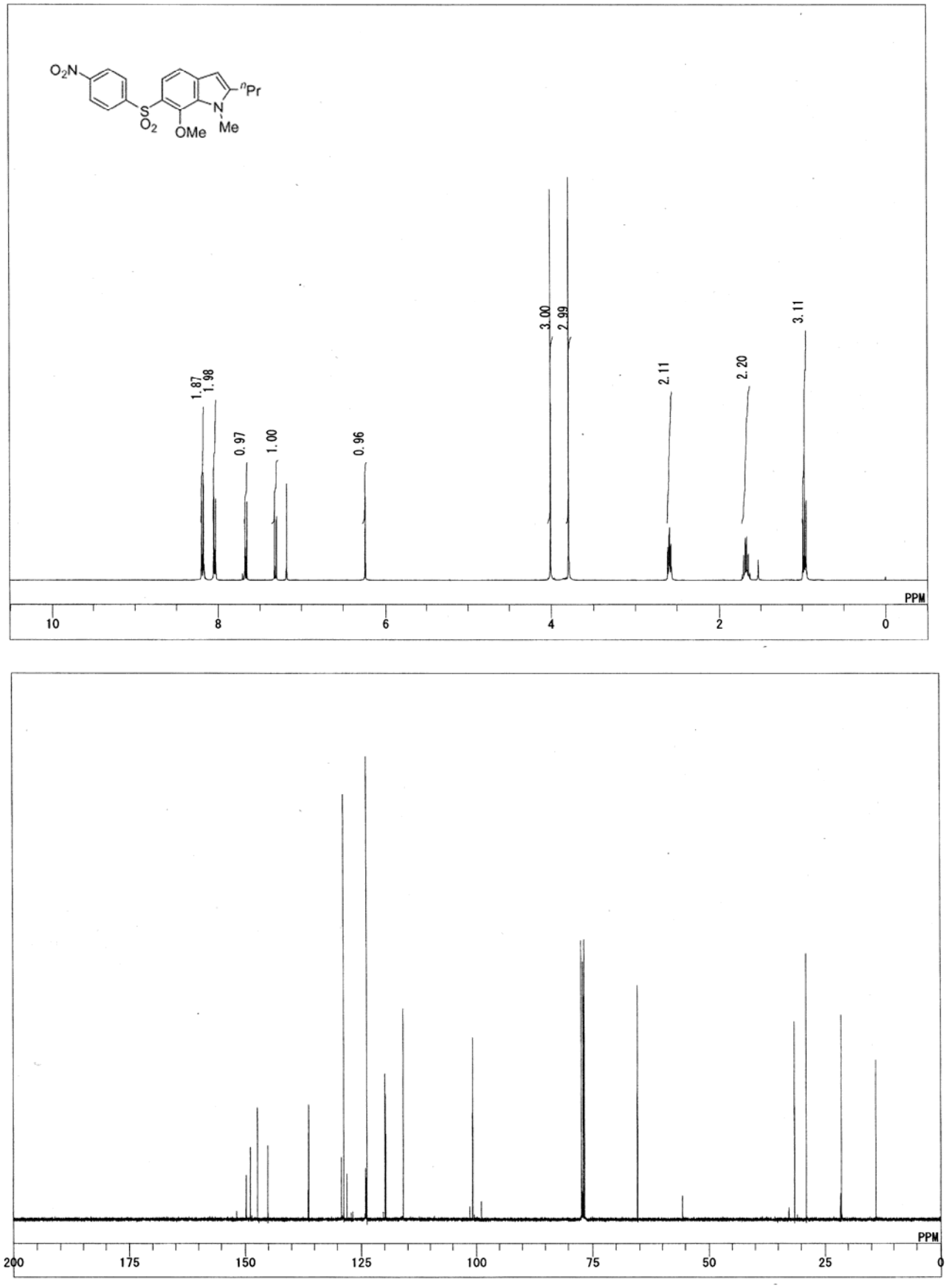


6-Benzenesulfonyl-7-methoxy-1-methyl-2-propylindole (3r).

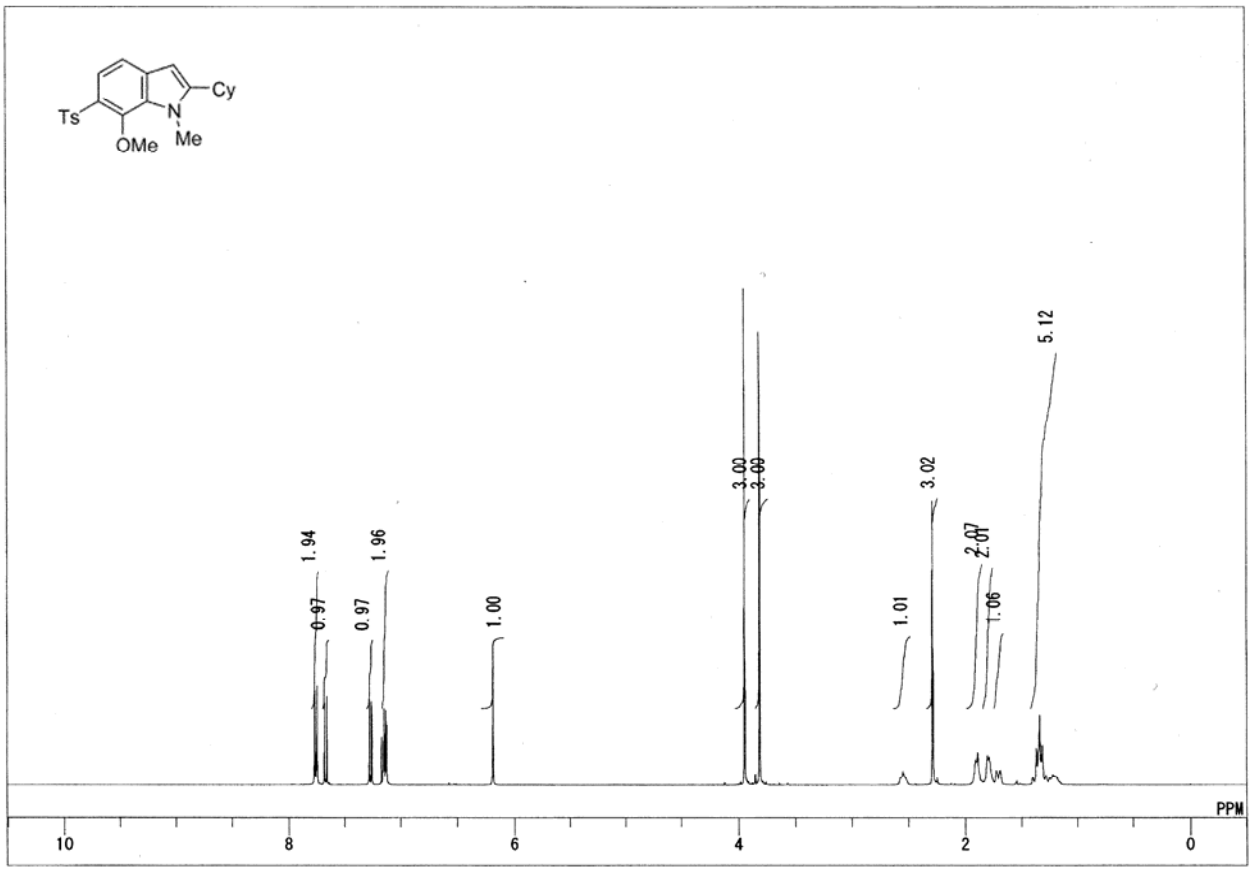


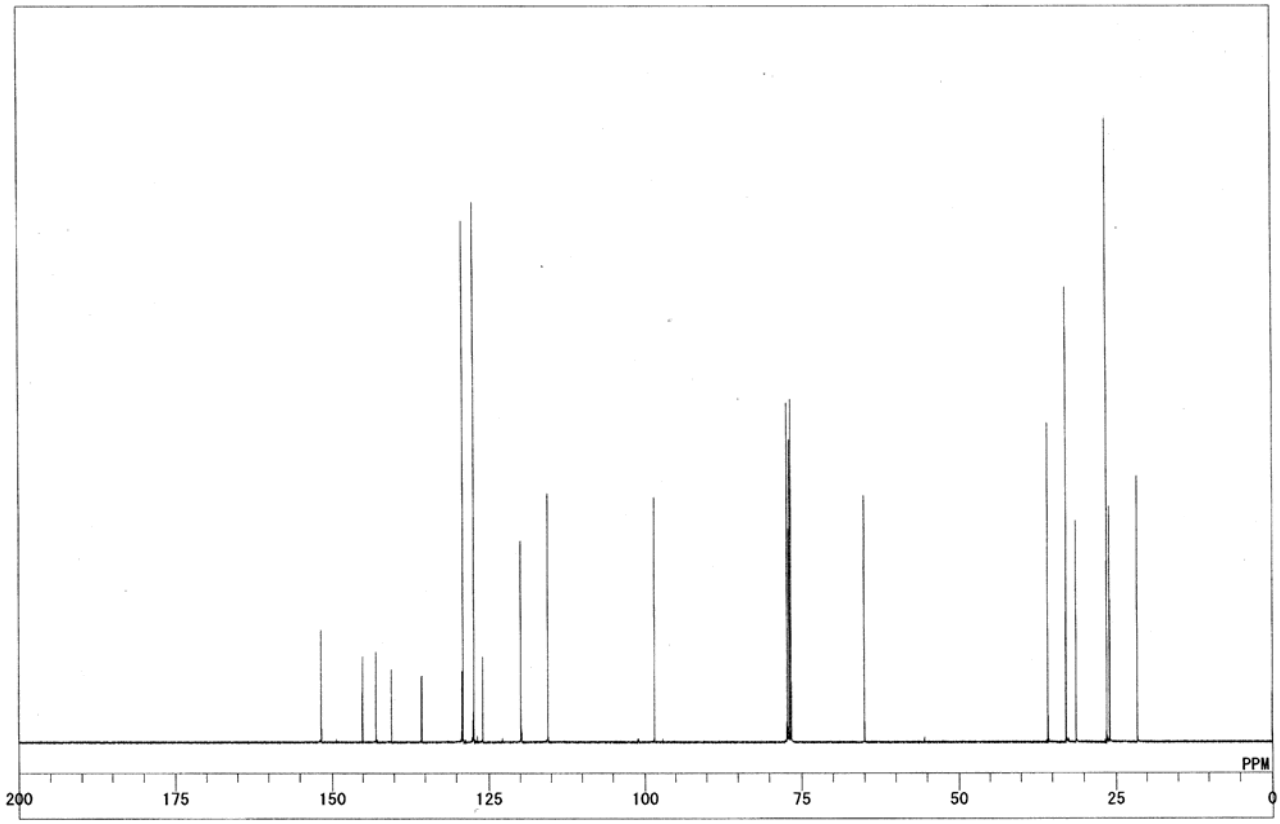


7-Methoxy-1-methyl-6-(*p*-nitrobenzene)sulfonyl-2-propylindole (3s).

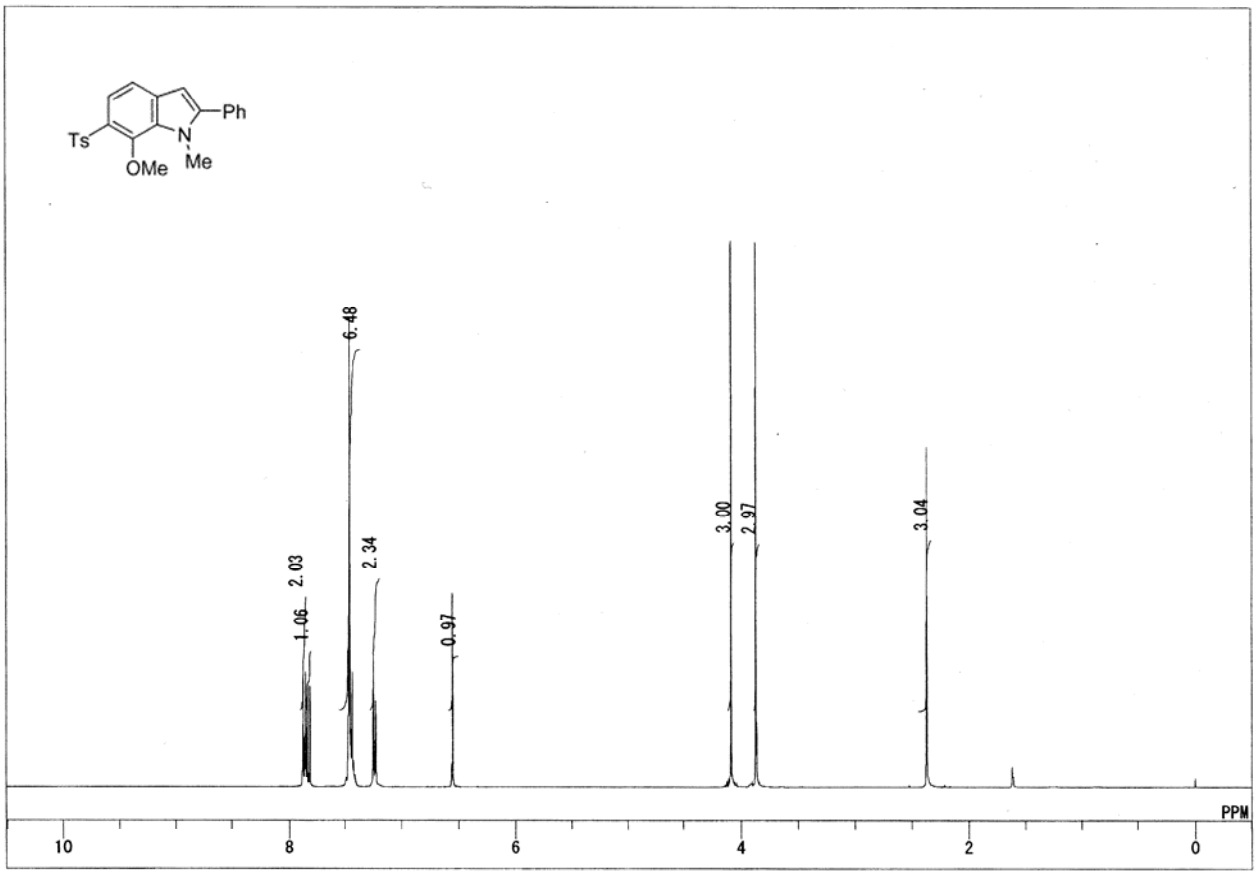


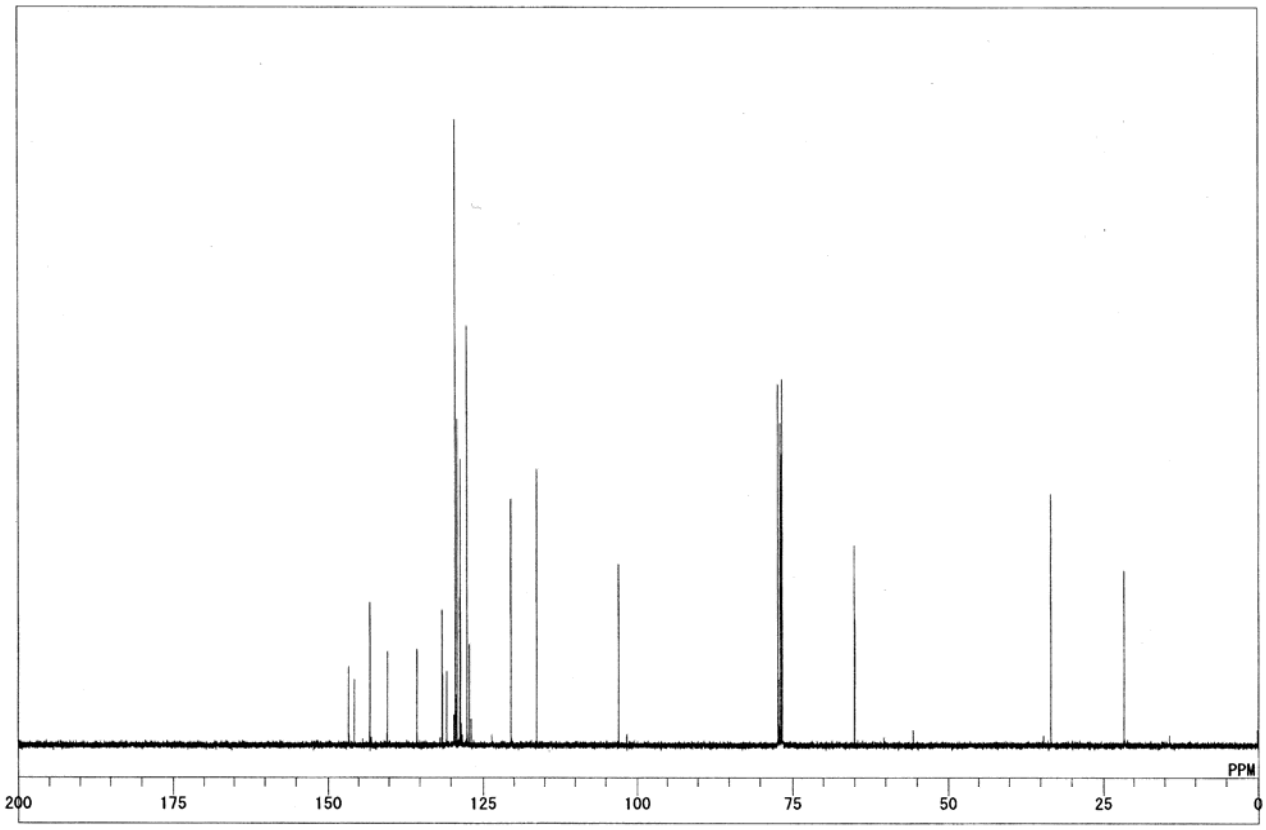
2-Cyclohexyl-7-methoxy-1-methyl-6-tosylindole (3t)



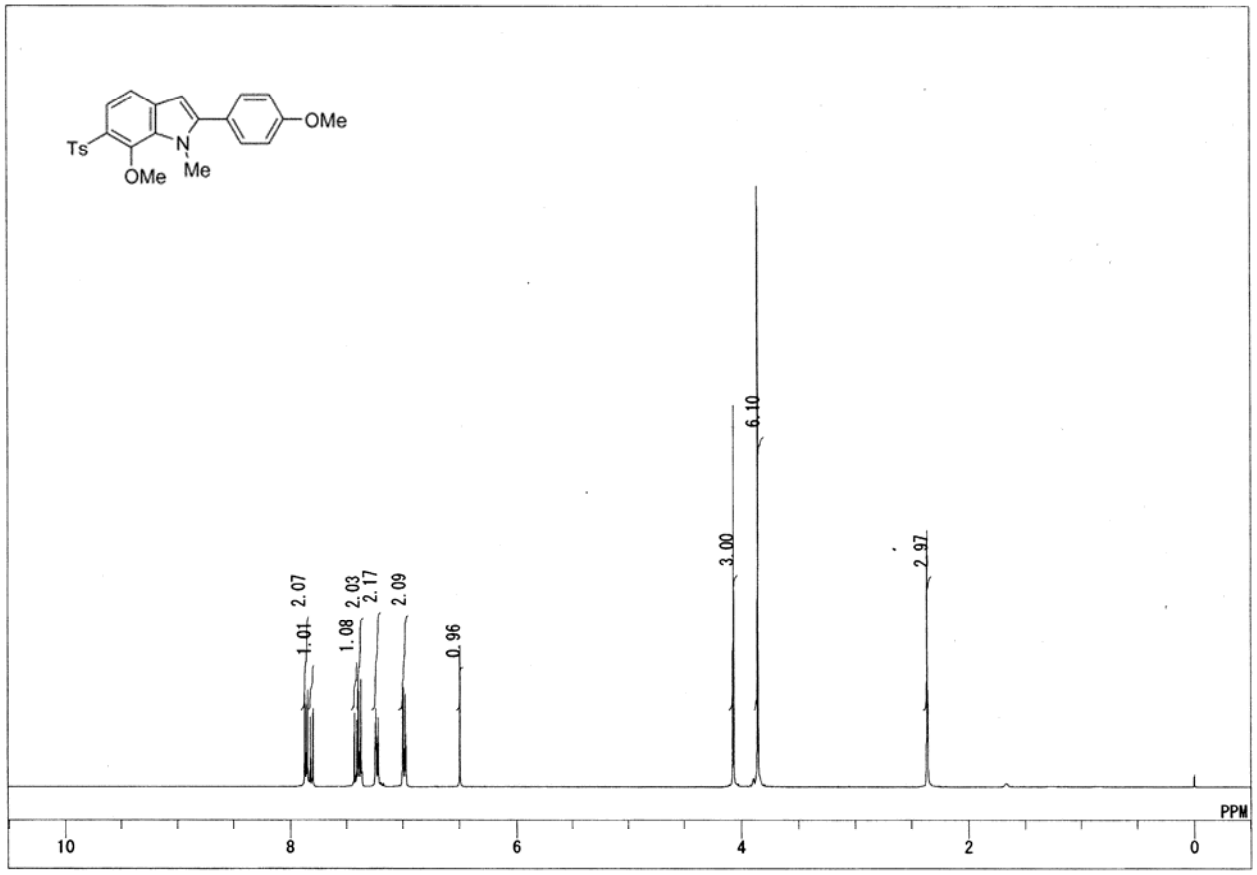


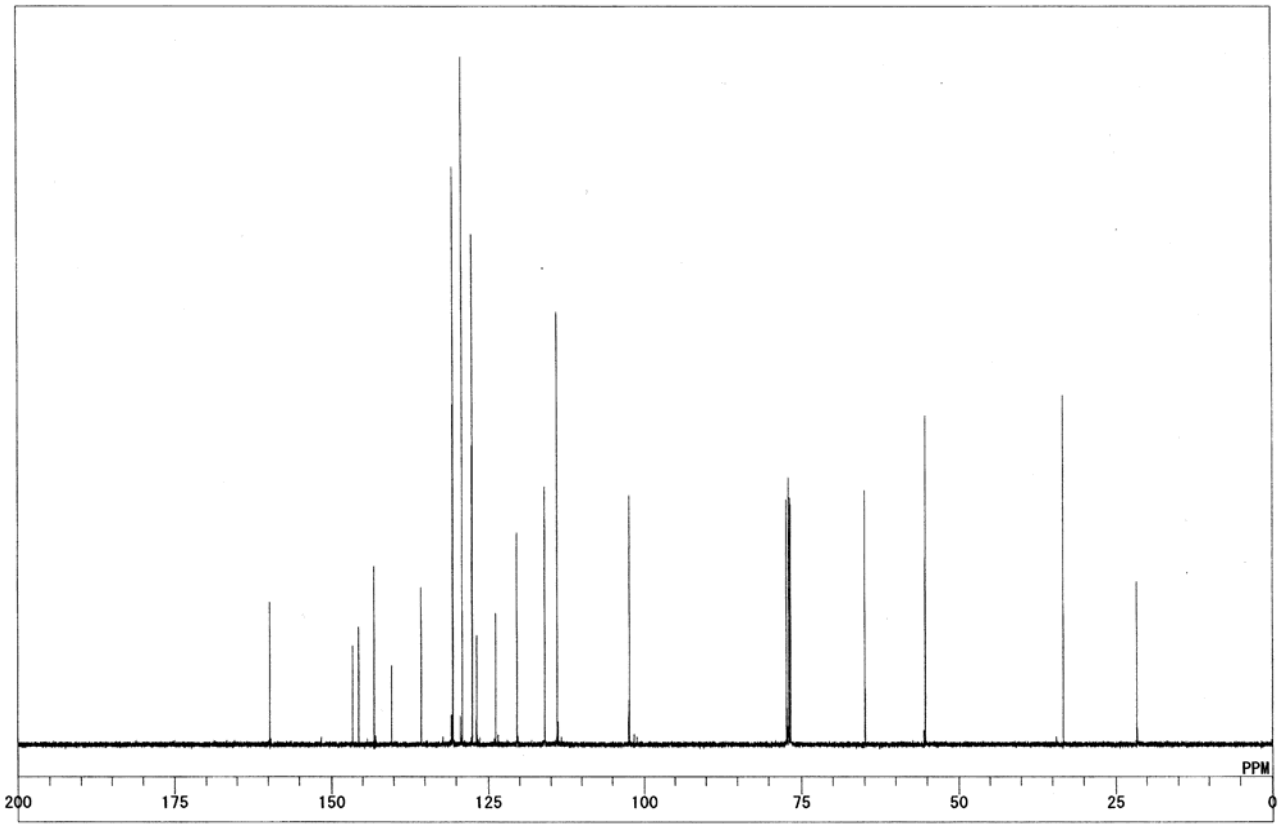
7-Methoxy-1-methyl-2-phenyl-6-tosylindole (3u).



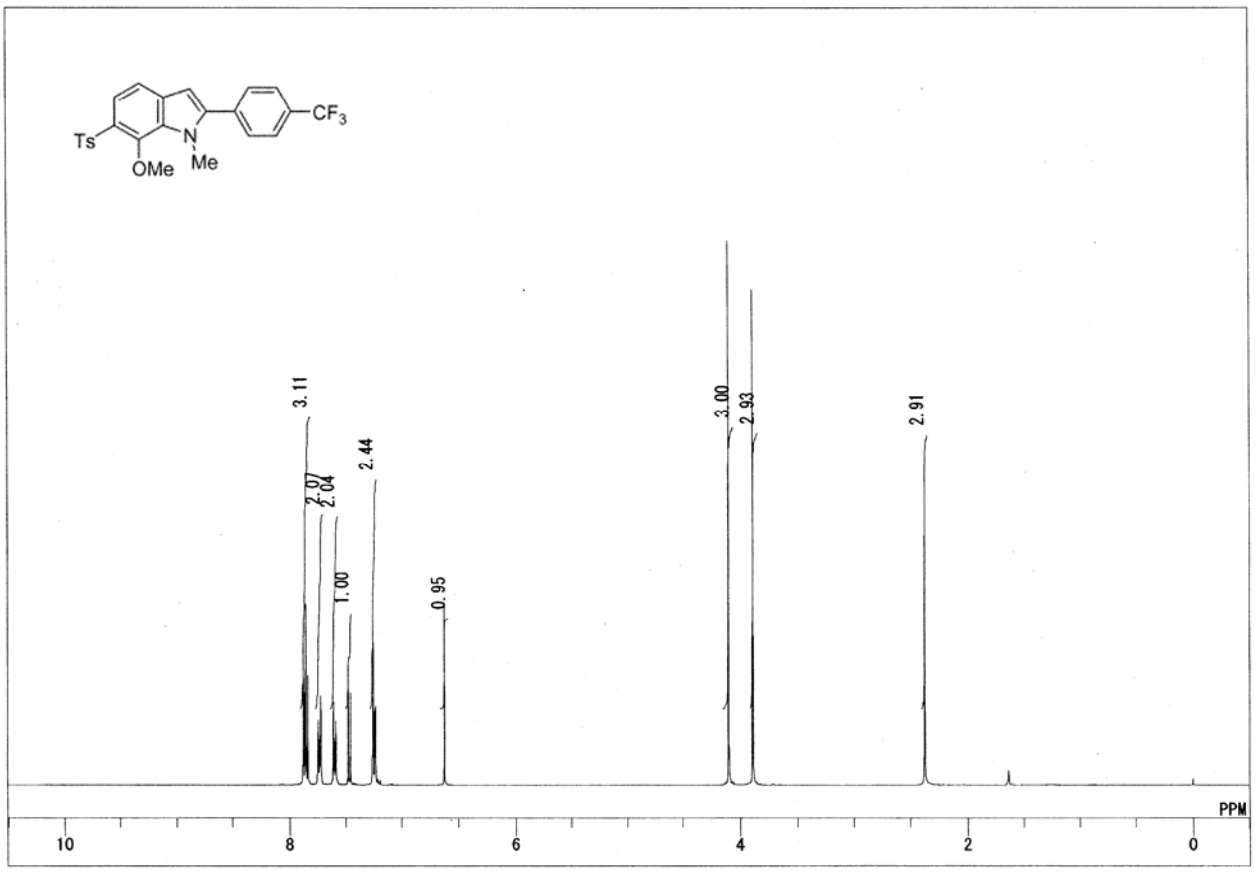


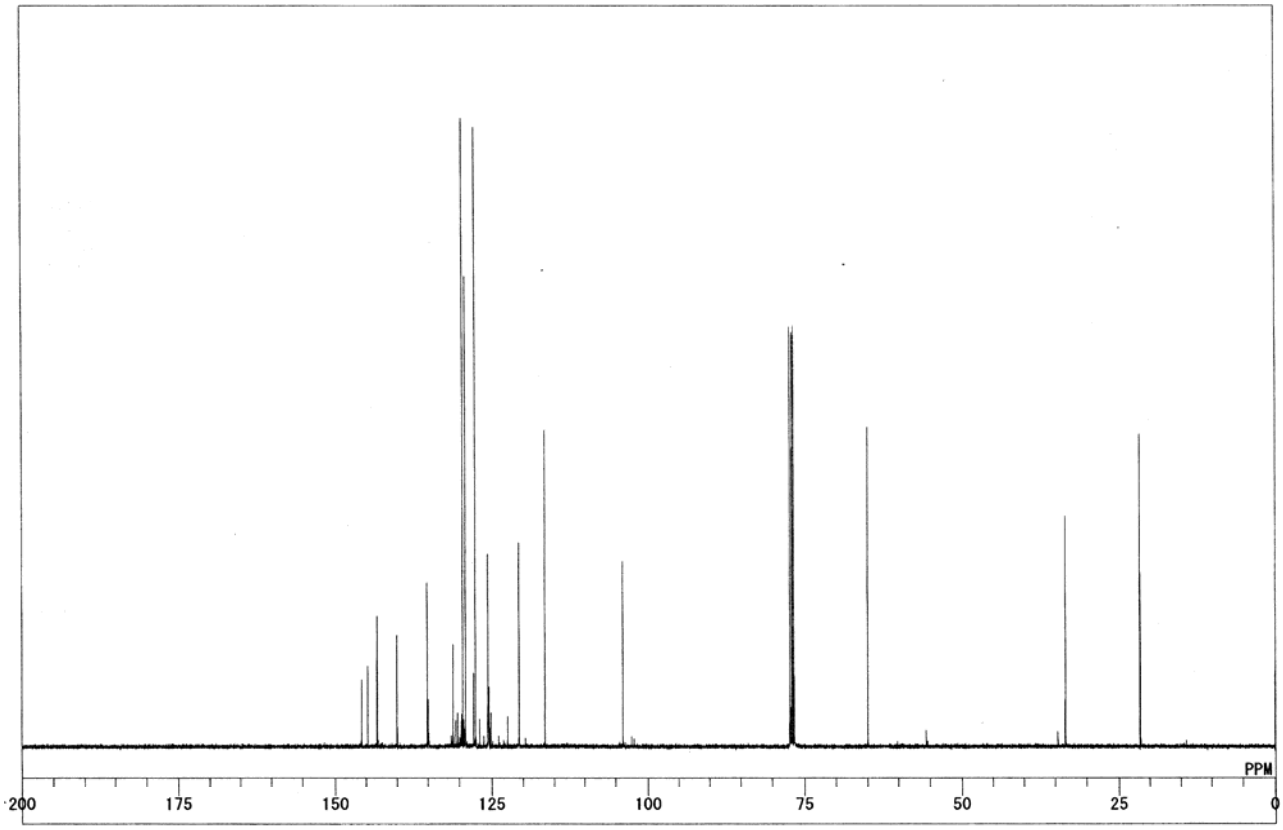
7-Methoxy-2-(*p*-methoxyphenyl)-1-methyl-6-tosylindole (3v).



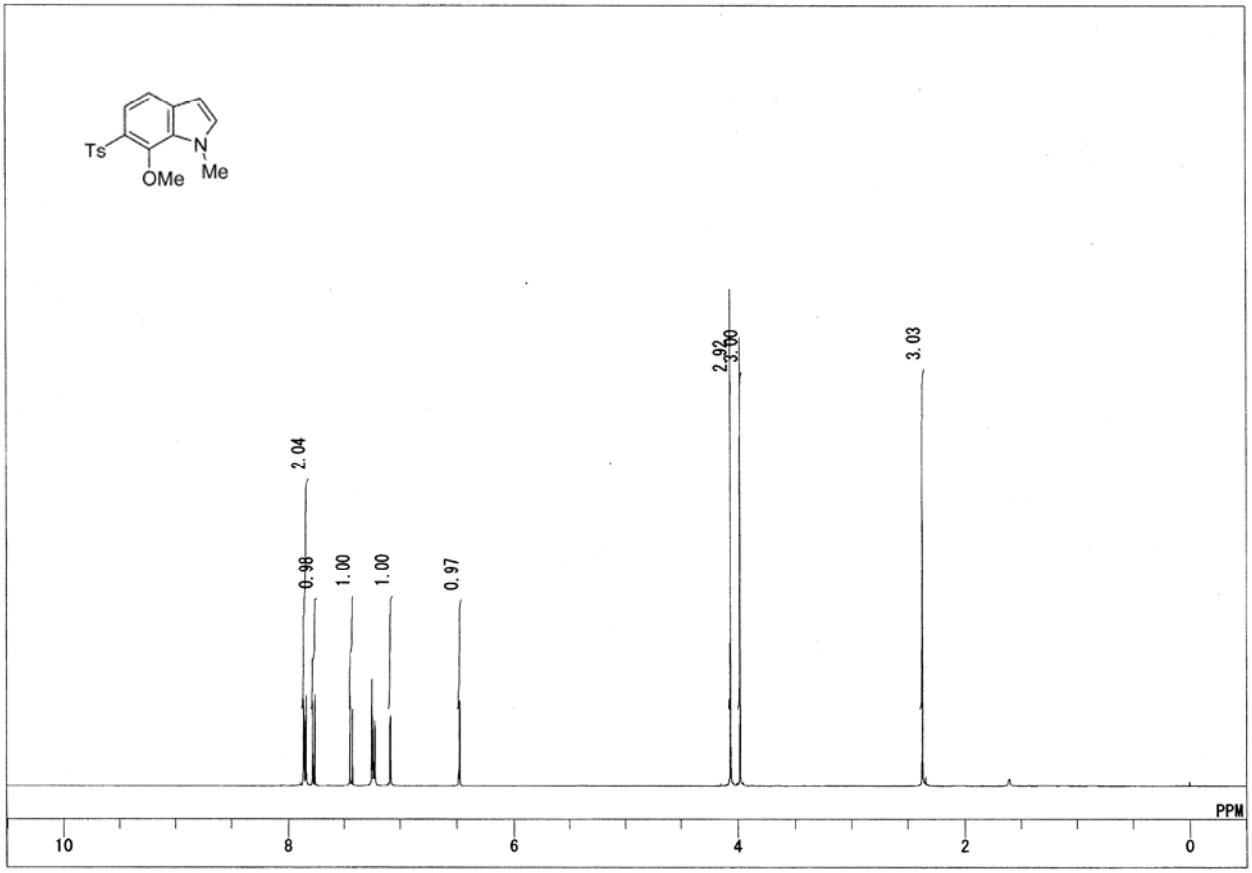


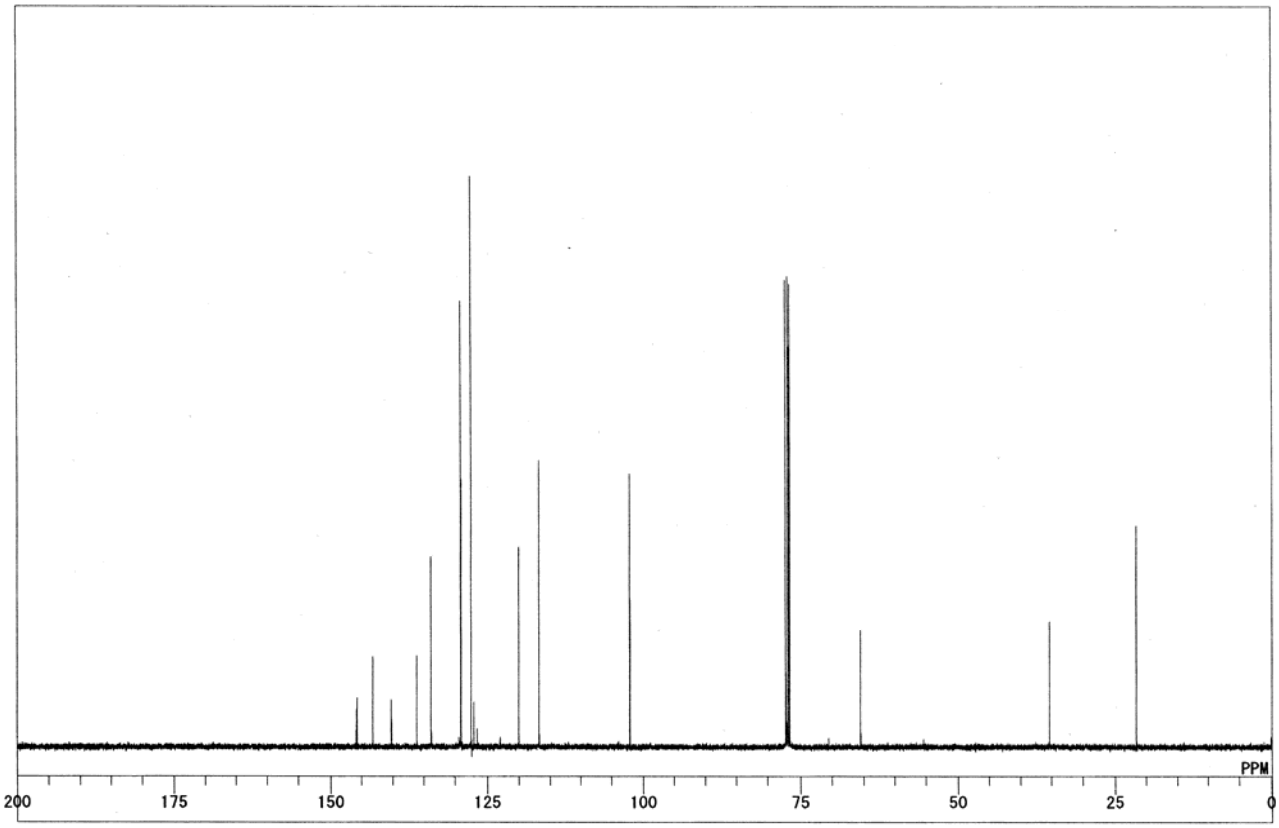
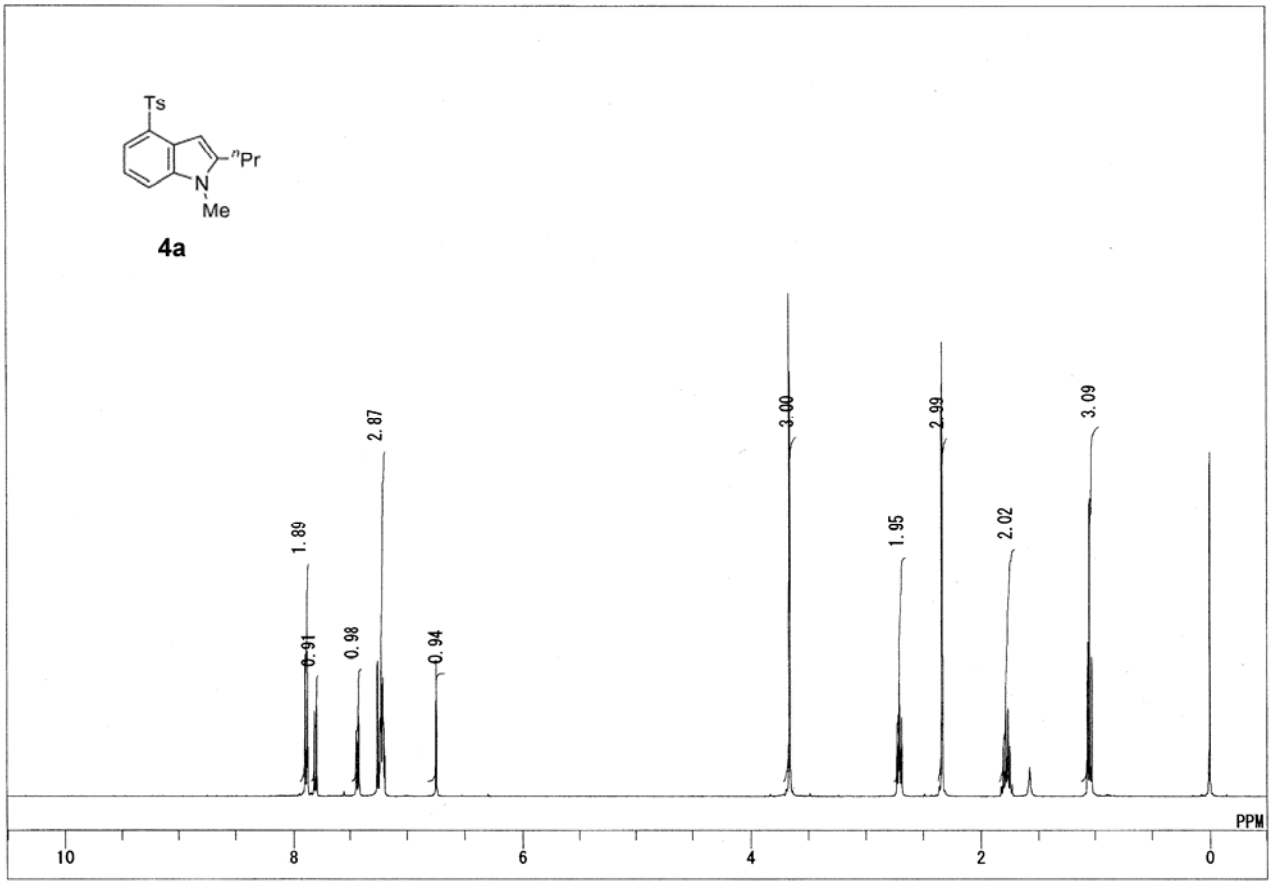
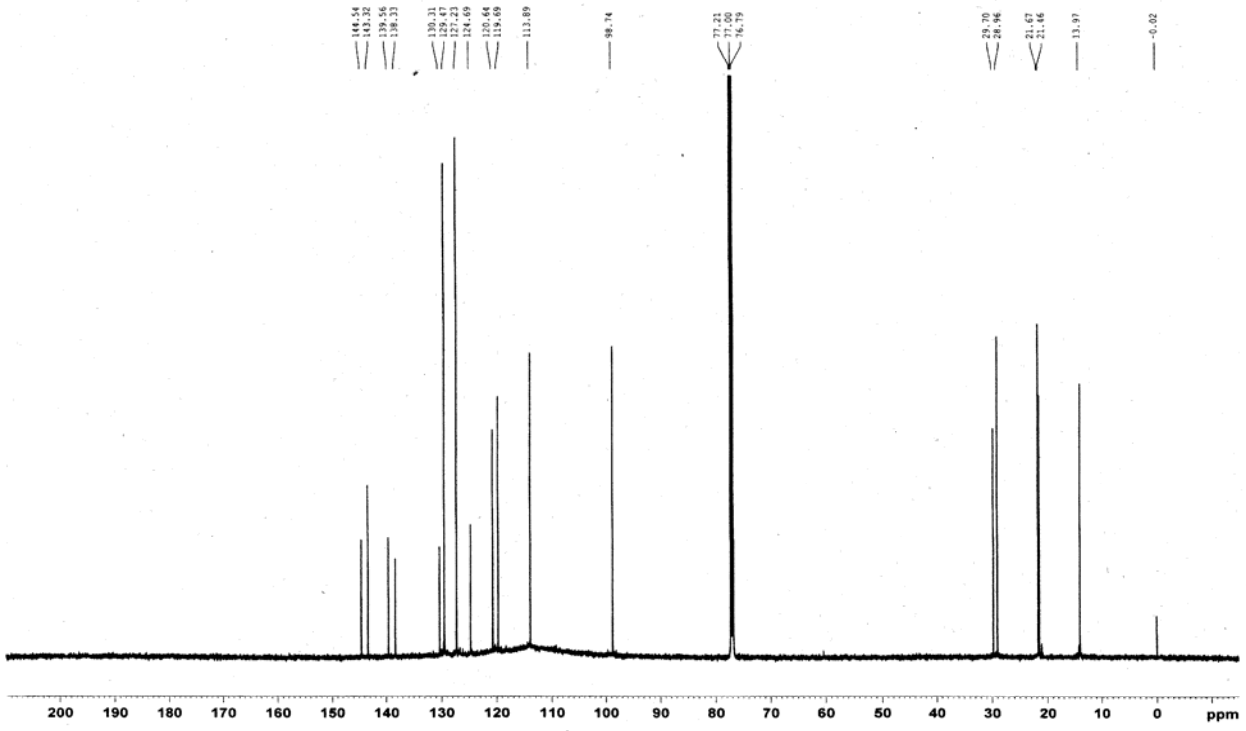
7-Methoxy-1-methyl-6-tosyl-2-(trifluoromethylphenyl)indole (3w).





7-Methoxy-1-methyl-6-tosylindole (3x).





1-Methyl-2-propyl-4-tosylindole (4a).