Supporting Information

IntramolecularCarbopalladation/Cross-coupling and DDQ-mediated Cross-Dehydrogenative coupling: An efficient and New strategy to Diverse Indolo[2,3-*b*]quinolines Derivatives

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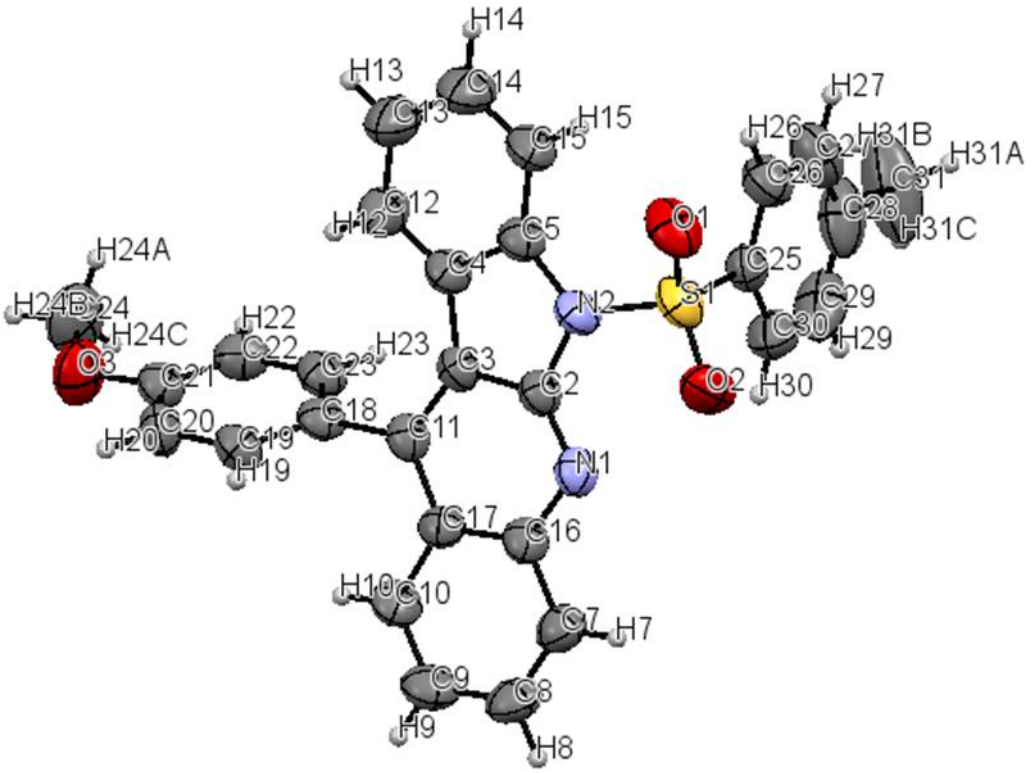
General: All NMR spcetral data were recorded by Bruker 300, 400, 500 (300, 400, 500 MHz) spectrometer in $CDCl_3$ solutions expressing chemical shifts in parts per million (ppm, δ) and are referenced to $CHCl_3$ (δ = 7.26 ppm) as an internal standard. All coupling constants are absolute values and are expressed in Hz. The description of the signals include: s = singlet, d = doublet, t = triplet, m = multiplet, dd = doublet of doublets and brs = broad singlet. ^{13}C NMR spectra were recorded with a Bruker 300, 400, 500 (75, 100, 125 respictively MHz) spectrometer as solutions in $CDCl_3$ with complete proton decoupling. Chemical shifts are expressed in parts per million (ppm, δ) and are referenced to $CDCl_3$ (δ = 77.0 ppm) as an internal standard. High-Resolution Mass Spectra (HRMS) were performed with a Qtof Micro YA263 spectrometer in dichloromethane solvent. The molecular fragments are quoted as the relation between mass and charge (m/z). The routine monitoring of reactions was performed with silica gel coated glass slides (Merck, silica gel G for TLC), and pre-coated Al plate, which were analyzed with iodine and uv light respectively. Solvents, reagents and chemicals were purchased from Aldrich, Fluka, Merck, SRL, Spectrochem and Process Chemicals. All reactions involving moisture-sensitive reactants were executed with oven-dried glassware.

Ortep diagram for the crystal structure of the compound 3e (Thermal ellipsoid contour at 50% probability level)

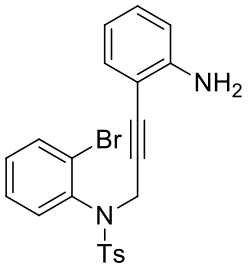


CCDC no. 1848645

Table for crystallographic data and structural refinement parameters for 3e

Identification code	matrix_0m
Empirical formula	$C_{29}H_{22}N_2O_3S$
Formula weight	478.55
Temperature/K	296.15
Crystal system	triclinic
Space group	P-1
a/Å	12.875(2)
b/Å	13.030(2)
c/Å	15.195(3)
α/°	76.583(12)
β/°	78.566(12)
γ/°	72.177(12)
Volume/Å^3	2338.3(6)
Z	4
ρ_{calc}g/cm^3	1.359
μ/mm^{-1}	0.174
F(000)	1000.0
Crystal size/mm^3	15 × 10 × 7
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	3.34 to 49.28
Index ranges	$-15 \leq h \leq 15$, $-15 \leq k \leq 15$, $-17 \leq l \leq 17$
Reflections collected	31369
Independent reflections	7820
Data/restraints/parameters	7820/0/635
Goodness-of-fit on F^2	0.773
Final R indexes [I>=2σ (I)]	R_1 = 0.0691, wR_2 = 0.1304
Final R indexes [all data]	R_1 = 0.1707, wR_2 = 0.1594
Largest diff. peak/hole / e Å^{-3}	0.30/-0.40

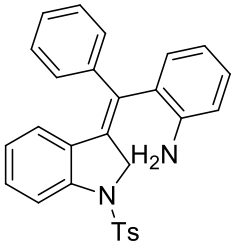
Representative experimental procedure for the synthesis of *N*-(3-(2-aminophenyl)prop-2-yn-1-yl)-*N*-(2-bromophenyl)-4-methylbenzenesulfonamide (1a):



To a solution of *N*-(2-bromophenyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide (363 mg, 1 mmol) in dimethyl sulfoxide (2 mL) and 2-iodoaniline (241 mg, 1.1 mmol), triethylamine (202 mg, 2 mmol), CuI (4 mg, 0.02 mmol) and $Pd(PPh_3)_4$ (12 mg, 0.01 mmol) were added successively. The resulting solution was stirred at room temperature under argon atmosphere for overnight. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **1a** as a yellow semisolid (341 mg, 0.75 mmol, 75%). ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.41 (s, 3H), 3.55 (brs, 2H), 4.41 (d, J = 17.4 Hz, 1H), 4.94 (d, J = 18.0 Hz, 1H), 6.61–6.64 (m, 2H), 7.02–7.08 (m, 2H), 7.23–7.33 (m, 5H), 7.63 (d, J = 1.8 Hz, 1H), 7.76 (d, J = 8.4 Hz, 2H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.6, 41.4, 82.6, 88.3, 106.7, 114.2, 117.5, 125.6, 128.0, 129.5, 130.3, 132.1, 132.2, 133.8, 136.6, 137.6, 143.9, 148.3.

Compounds 1b-1h were synthesised by the above similar procedure.

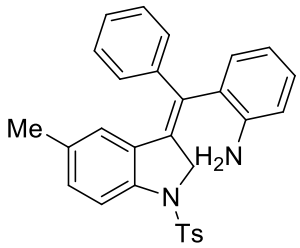
(*Z*)-2-(phenyl(1-tosylindolin-3-ylidene)methyl)aniline (2a):



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL) and 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 70-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and

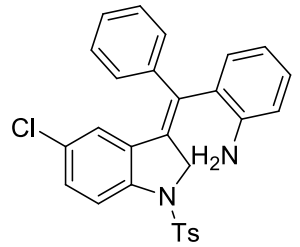
concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2a** as a yellow solid (112 mg, 0.25 mmol, 82%); m. p. 136-138 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.39 (s, 3H), 3.69 (brs, 2H) , 4.50 (s, 2H), 6.64- 6.73 (m, 4H), 6.88 (d, *J* = 7.2 Hz, 1H), 7.08–7.29 (m, 9H), 7.68 (dd, *J* = 8.1, 9.0 Hz, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 55.8, 115.5, 116.0, 118.7, 123.2, 124.3, 127.2, 127.4, 127.8, 128.6, 128.8, 128.9, 129.1, 129.2, 129.5, 129.6, 131.3, 132.0, 133.9, 140.0, 142.7, 144.1, 145.7 ppm. HRMS (ESI) calcd for $C_{28}H_{25}N_2O_2S$ $[M+H]^+$ 453.1637; found 453.1621.

(*Z*)-2-((5-methyl-1-tosylindolin-3-ylidene)(phenyl)methyl)aniline (2b) :



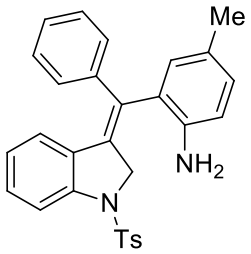
To a solution of **1b** (140 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2b** as a yellow solid (116 mg, 0.25 mmol, 83%); m. p. 142-144 °C. ^{1}H NMR ($CDCl_3$, 500 MHz) δ 2.01 (s, 3H), 2.38 (s, 3H), 3.66 (brs, 2H) , 4.45 (s, 2H), 6.41 (s, 1H), 6.71 (t, *J* = 4.5 Hz, 2H), 6.85 (d, *J* = 4.5 Hz, 1H), 6.97 (d, *J* = 4.8 Hz, 1H), 7.09 (t, *J* = 4.5 Hz, 1H), 7.15-7.16 (m, 2H), 7.22-7.28 (m, 5H), 7.60 (dd, *J* = 3.9, 4.5 Hz,3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.1, 21.6, 56.2, 115.7, 116.2, 118.9, 125.0, 127.4, 127.6, 127.9, 128.8, 128.9, 129.0, 129.3, 129.4, 129.7, 130.4, 131.2, 132.4, 132.9, 134.0, 140.2, 142.9, 143.8, 144.1 ppm. HRMS (ESI) calcd for $C_{29}H_{27}N_2O_2S$ $[M+H]^+$ 467.1793; found 467.1737.

(*Z*)-2-((5-chloro-1-tosylindolin-3-ylidene)(phenyl)methyl)aniline (2c) :



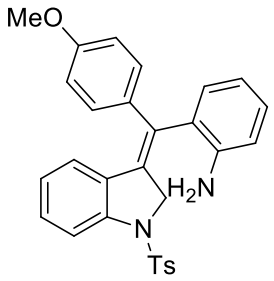
To a solution of **1c** (147 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with EtOAc. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2c** as a yellow solid (117 mg, 0.24 mmol, 79%); m. p. 154-156 °C . ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.41 (s, 3H), 3.67 (brs, 2H) , 4.51 (s, 2H), 6.55 (s, 1H), 6.70-6.73 (m, 2H), 6.86 (d, *J* = 7.5 Hz, 1H), 7.14–7.32 (m, 9H), 7.63 (d, *J* = 8.1 Hz, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 56.1, 116.1, 116.4, 118.8, 124.3, 126.8, 127.4, 128.3, 128.4, 128.6, 128.7, 129.0, 129.1, 129.2, 129.7, 130.9, 133.0, 133.5, 139.4, 142.6, 144.3, 144.4 ppm. HRMS (ESI) calcd for $C_{28}H_{24}ClN_2O_2S$ $[M+H]^+$ 487.1247; found 487.1232.

(*Z*)-4-methyl-2-(phenyl(1-tosylindolin-3-ylidene)methyl)aniline (2d) :



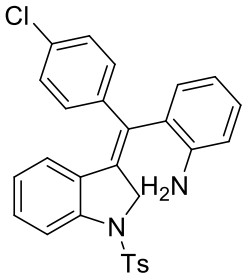
To a solution of **1d** (140 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2d** as a yellow solid (126 mg, 0.27 mmol, 90%); m. p. 158-160 °C. ^{1}H NMR ($CDCl_3$, 500 MHz) δ 2.12 (s, 3H), 2.32 (s, 3H), 3.48 (s, 2H), 4.42 (s, 2H), 6.55-6.64 (m, 4H), 6.83 (d, *J* = 8.5 Hz, 1H), 7.07-7.24 (m, 8H), 7.60 (dd, *J* = 8.0, 17.5 Hz, 3H), ppm. ^{13}C NMR ($CDCl_3$, 125 MHz) δ 20.5, 21.7, 85.9, 115.6, 116.3, 123.3, 124.7, 127.5, 127.6, 127.9, 128.0, 128.7, 129.0, 129.3, 129.4, 129.5, 129.7, 131.6, 132.0, 134.0, 140.3, 142.2, 145.8 ppm. HRMS (ESI) calcd for $C_{29}H_{27}N_2O_2S$ $[M+H]^+$ 467.1793 ; found 467.1752.

(*Z*)-2-((4-methoxyphenyl)(1-tosylindolin-3-ylidene)methyl)aniline (2e):



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), *p*-methoxyphenyl boronic acid (68 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 70-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with EtOAc. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2e** as a yellow solid (123 mg, 0.26 mmol, 85%); m. p. 200-202 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.39 (s, 3H), 2.94 (brs, 2H), 3.80 (s, 3H), 4.48 (s, 2H), 6.71- 6.88 (m, 8H), 7.09-7.12 (d, J = 8.4 Hz ,3H), 7.17–7.26 (m, 2H), 7.68 (dd, J = 8.1, 9.3 Hz, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 55.2, 55.9, 114.2, 115.5, 116.1, 118.8, 123.2, 124.3, 127.4, 128.9, 129.2, 129.3, 129.4, 129.6, 129.9, 131.1, 131.3, 132.1, 133.8, 142.7, 144.1, 145.6, 159.2 ppm. HRMS (ESI) calcd for $C_{29}H_{27}N_2O_3S$ $[M+H]^+$ 483.1742; found 483.1719.

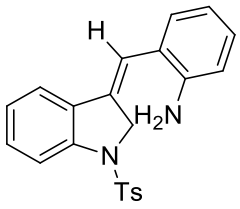
(*Z*)-2-((4-chlorophenyl)(1-tosylindolin-3-ylidene)methyl)aniline (2f) :



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), *p*-chlorophenyl boronic acid (70 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 70-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with EtOAc. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2f** as a yellow solid

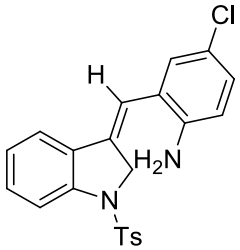
(117 mg, 0.24 mmol, 81%); m. p. 138-140 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.39 (s, 3H), 4.47 (s, 2H), 6.74- 6.84 (m, 5H), 7.09-7.17 (m, 3H), 7.20–7.28 (m, 5H), 7.68 (dd, J = 8.1, 13.5 Hz, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 55.8, 115.6, 116.1, 118.8, 123.3, 124.2, 126.8, 127.4, 128.7, 129.1, 129.6, 129.8, 129.9, 130.1, 132.6, 133.6, 133.8, 138.5, 142.6, 144.2, 145.9 ppm. HRMS (ESI) calcd for $C_{28}H_{24}N_2NaO_2S$ $[M+H]^+$ 487.1247; found 487.1218.

(*Z*)-2-((1-tosylindolin-3-ylidene)methyl)aniline (2g) :



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 70-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2g** as a yellow solid (101 mg, 0.27 mmol, 89%); m. p. 146-148 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.37 (s, 3H), 4.73 (s, 2H), 6.73-6.81 (m, 3H), 7.05-7.25 (m, 6H), 7.48 (d, J = 6 Hz, 1H), 7.70-7.72 (m, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 54.2, 113.7, 114.9, 116.3, 118.8, 120.4, 122.1, 123.7, 126.8, 127.2, 127.8, 128.7, 129.8, 130.6, 133.9, 134.1, 143.6, 144.0, 144.3 ppm. HRMS (ESI) calcd for $C_{22}H_{21}N_2O_2S$ $[M+H]^+$ 377.1324; found 377.1285.

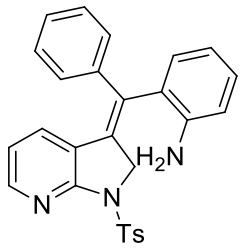
(*Z*)-4-chloro-2-((1-tosylindolin-3-ylidene)methyl)aniline (2h) :



To a solution of **1e** (143 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 70-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with EtOAc. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column

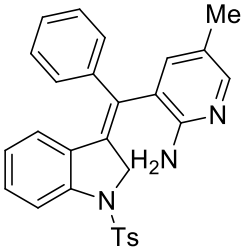
chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **2i** as a yellow solid (108 mg, 0.26 mmol, 88%); m. p. 134-136 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.36 (s, 3H), 4.66 (s, 2H), 6.64 (t, *J* = 8.4 Hz, 2H), 6.97-7.05 (m, 3H), 7.22-7.29 (m, 3H), 7.45 (d, *J* = 6.9 Hz, 1H), 7.69-7.76 (m, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.5, 53.9, 112.3, 113.4, 114.9, 120.7, 123.7, 124.6, 126.7, 127.2, 127.4, 128.4, 129.9, 130.0, 130.3, 133.9, 136.1, 144.0, 144.4 ppm. HRMS (ESI) calcd for $C_{22}H_{20}ClN_2O_2S$ $[M+H]^+$ 411.0934; found 411.0913.

(*Z*)-2-(phenyl(1-tosyl-1H-pyrrolo[2,3-*b*]pyridin-3(2H)-ylidene)methyl)aniline (2i) :



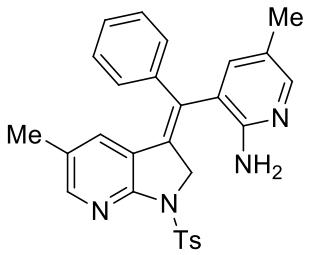
To a solution of **1f** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3.5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 90:10 (v/v) to afford the product **2j** as a yellow solid (105 mg, 0.23 mmol, 77%); m. p. 204-206 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.39 (s, 3H), 3.14 (brs, 2H) , 4.63 (s, 2H), 6.57-6.59 (m, 1H), 6.76 (t, *J* = 7.8 Hz, 2H), 6.89 (d, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 6.9 Hz, 1H), 7.13 (t, *J* = 7.2 Hz, 1H), 7.26-7.33 (m, 7H), 7.98 (d, *J* = 7.8 Hz, 2H), 8.10 (d, *J* = 3.6 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.6, 54.0, 116.3, 117.5, 118.9, 121.9, 126.4, 128.0, 128.2, 128.3, 129.0, 129.1, 129.2, 129.4, 131.7, 134.4, 135.5, 139.7, 142.6, 144.2, 148.3 ppm. HRMS (ESI) calcd for $C_{27}H_{24}N_3O_2S$ $[M+H]^+$ 454.1589 ; found 454.1636.

(*Z*)-5-methyl-3-(phenyl(1-tosylindolin-3-ylidene)methyl)pyridin-2-amine (2j) :



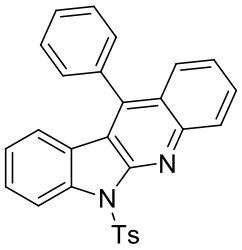
To a solution of **1g** (141 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3.5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 90:10 (v/v) to afford the product **2k** as a yellow solid (112 mg, 0.24 mmol, 80%); m. p. 166-168 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.17 (s, 3H), 2.39 (s, 3H) , 4.33 (s, 2H), 4.49 (s, 2H), 6.63 (d, *J* = 7.8 Hz, 1H), 6.71 (t, *J* = 7.8 Hz, 1H), 7.00 (s, 1H), 7.17-7.33 (m, 8H), 7.19 (dd, *J* = 8.1, 10.2 Hz, 3H), 7.88 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 17.3, 21.5, 55.4, 115.5, 121.7, 123.2, 123.4, 124.5, 127.4, 127.7, 128.2, 128.5, 129.1, 129.7, 130.0, 133.1, 133.7, 138.8, 139.2, 144.3, 145.9, 146.5, 152.4 ppm. HRMS (ESI) calcd for $C_{28}H_{26}N_3O_2S$ $[M+H]^+$ 468.1746 ; found 468.1750.

(*Z*)-5-methyl-3-((5-methyl-1-tosyl-1*H*-pyrrolo[2,3-*b*]pyridin-3(2*H*)-ylidene)(phenyl)methyl)pyridin-2-amine (2k):



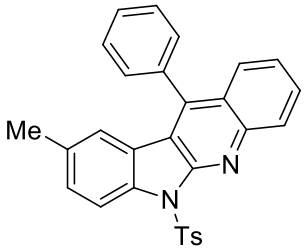
To a solution of **1h** (145 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), phenyl boronic acid (55 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 4 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 80:20 (v/v) to afford the product **2l** as a yellow solid (108 mg, 0.22 mmol, 75%); m. p. >300 °C. ^{1}H NMR ($CDCl_3$, 500 MHz) δ 1.98 (s, 3H), 2.18 (s, 3H) , 2.38 (s, 3H), 4.40 (s, 2H), 4.59 (s, 2H), 6.66 (s, 1H), 7.06 (s, 1H), 7.26 (d, *J* = 8.1 Hz, 3H), 7.35-7.37 (m, 3H), 7.90 (s, 1H), 7.96 (d, *J* = 14.5 Hz, 3H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 17.5, 18.0, 21.7, 54.1, 120.6, 121.4, 123.7, 127.0, 128.1, 128.4, 128.7, 129.4, 129.5, 130.0, 132.3, 132.8, 135.4, 138.3, 139.2, 144.3, 148.9, 149.7, 152.6, 156.5 ppm. HRMS (ESI) calcd for $C_{28}H_{27}N_4O_2S$ $[M+H]^+$483.1855; found 483.1822.

11-phenyl-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3a) :



To a solution of **2a** (90 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3a** as a yellow solid (89 mg, quantitative); m. p. 208-210 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.32 (s, 3H), 6.85 (d, *J* = 7.5 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 7.8 Hz, 2H), 7.40-7.62 (m, 8H), 7.74 (t, *J* = 7.2 Hz, 1H), 8.25 (dd, *J* = 8.1, 12.6 Hz, 3H), 8.51 (d, *J* = 8.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.6, 114.6, 116.6, 122.8, 122.9, 123.4, 125.0, 125.3, 126.0, 128.3, 128.7, 128.8, 129.1, 129.3, 135.6, 135.9, 139.6, 142.6, 144.9, 146.2, 150.5 ppm. HRMS (ESI) calcd for $C_{28}H_{21}N_2O_2S$ $[M+H]^+$ 449.1324 ; found 449.1871.

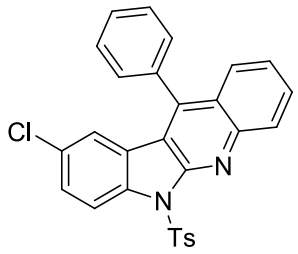
9-methyl-11-phenyl-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3b) :



To a solution of **2b** (93 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.2 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3b** as a light yellow solid (92 mg, quantitative); m. p. 206-208 °C.^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.22 (s, 3H), 2.31 (s, 3H), 6.60 (s, 1H), 7.20 (d, *J* = 7.8 Hz, 2H), 7.30 (d, *J* = 8.4 Hz, 1H), 7.40-7.44 (m, 3H), 7.62 (s, 4H), 7.74 (t, *J* = 7.2 Hz, 1H), 8.24 (dd, *J* = 8.4, 22.8 Hz, 3H), 8.37 (d, *J* = 8.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.2, 21.6, 114.4, 116.7, 123.0,

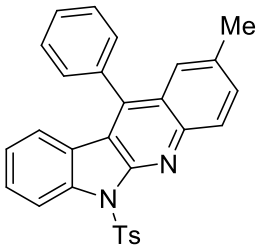
123.1, 124.9, 125.3, 126.0, 128.2, 128.8, 129.1, 129.3, 129.7, 133.0, 135.6, 135.8, 137.6, 142.5, 144.8, 146.1, 150.7 ppm. HRMS (ESI) calcd for $C_{29}H_{23}N_2O_2S$ $[M+H]^+$ 463.1480 ; found 463.1457.

9-chloro-11-phenyl-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3c) :



To a solution of **2c** (97 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3c** as a yellow solid (91 mg, 0.19 mmol, 98%); m. p. 208-210 °C. ^{1}H NMR ($CDCl_3$, 500 MHz) δ 2.33 (s, 3H), 6.76 (s, 1H), 7.24 (t, *J* = 4.8 Hz, 2H), 7.37-7.39 (m, 2H), 7.42-7.45 (m, 2H), 7.63-7.65 (m, 4H), 7.76 (t, *J* = 3.9 Hz, 1H), 8.19 (d, *J* = 4.8 Hz, 2H), 8.27 (d, *J* = 5.1 Hz, 1H), 8.44 (d, *J* = 5.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 125 MHz) δ 21.7, 115.7, 115.9, 122.7, 124.5, 125.4, 126.3, 128.5, 128.7, 129.0, 129.2, 129.3, 129.4, 129.5, 129.8, 135.1, 135.8, 138.0, 143.5, 145.3, 146.7, 150.7 ppm. HRMS (ESI) calcd for $C_{28}H_{20}ClN_2O_2S$ $[M+H]^+$482.0856; found 482.0980.

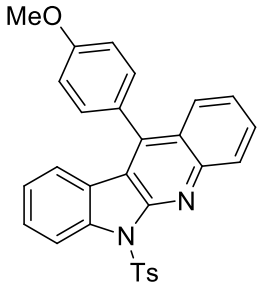
2-methyl-11-phenyl-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3d):



To a solution of **2d** (93 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3d** as a yellow solid (92 mg, quantitative); m. p. 214-216 °C.^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.31 (s, 3H), 2.43 (s, 3H), 6.79 (d, *J* = 7.8 Hz, 1H), 7.06 (t, *J* = 7.8

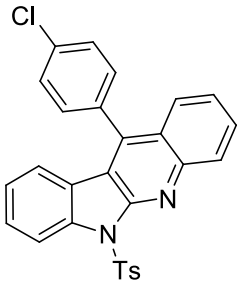
Hz, 1H), 7.19-7.26 (m, 2H), 7.36-7.63 (m, 8H), 8.17-8.21 (m, 3H), 8.49 (d, *J* = 8.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.7, 21.8, 114.8, 116.7, 122.9, 123.2, 123.5, 124.8, 125.4, 128.4, 128.7, 128.9, 129.0, 129.2, 129.3, 129.5, 131.6, 135.0, 135.9, 136.0, 139.7, 142.0, 144.9, 145.0, 150.2 ppm. HRMS (ESI) calcd for $C_{29}H_{23}N_2O_2S$ $[M+H]^+$ 463.1480; found 463.1455.

11-(4-methoxyphenyl)-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3e) :



To a solution of **2e** (96 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3e** as a yellow solid (95 mg, quantitative); m. p. 210-212 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.31 (s, 3H), 3.96 (s, 3H), 6.97 (d, *J* = 7.8 Hz, 1H), 7.16 (dq, d, *J* = 8.4 Hz, *J* = 13.2, 5H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.39-7.51 (m, 2H), 7.66-7.75 (m, 2H), 8.23 (dd, *J* = 8.1 Hz, *J* = 11.4, 3H), 8.50 (d, *J* = 8.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 75 MHz) δ 21.6, 55.4, 114.6, 116.9, 122.9, 123.1, 123.4, 124.9, 125.7, 126.0, 127.5, 128.3, 128.6, 129.1, 129.3, 130.4, 135.9, 139.5, 142.6, 144.9, 146.2, 150.6, 160.0 ppm. HRMS (ESI) calcd for $C_{29}H_{23}N_2O_3S$ $[M+H]^+$ 479.1429 ; found 479.1521.

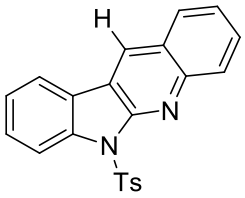
11-(4-chlorophenyl)-6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3f):



To a solution of **2f** (97 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 1 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and

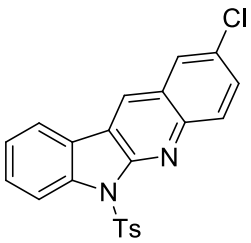
concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3f** as a yellow solid (87 mg, 0.18 mmol, 92%); m. p. 222-224 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.32 (s, 3H), 6.91 (d, *J* = 7.5 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.1 Hz, 2H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.41-7.57 (m, 3H), 7.61 (d, *J* = 8.1 Hz, 2H), 7.75 (t, *J* = 7.2 Hz, 1H), 8.25 (dd, *J* = 8.1, 13.5 Hz, 3H), 8.52 (d, *J* = 8.4 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.7, 114.4, 116.6, 119.2, 125.3, 125.5, 126.1, 126.3, 127.2, 127.6, 128.7, 129.1, 129.2, 129.4, 129.5, 129.6, 129.8, 129.9, 130.7, 135.3, 136.7, 143.8, 145.1, 146.8, 148.0, 149.8, 152.4 ppm. HRMS (ESI) calcd for $C_{28}H_{20}ClN_2O_2S$ $[M+H]^+$482.0856; found 482.0847.

6-tosyl-6*H*-indolo[2,3-*b*]quinoline (3g):



To a solution of **2g** (75 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 2 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3g** as a yellow solid (60 mg, 0.16 mmol, 82%); m. p. 226-228 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.27 (s, 3H), 7.04-7.16 (m, 5H), 7.25-7.35 (m, 3H), 7.52 (s, 1H), 7.70 (d, *J* = 8.1 Hz, 2H), 7.89 (d, *J* = 7.8 Hz, 1H), 8.49 (d, *J* = 6.6 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.6, 113.3, 121.7, 122.3, 123.6, 124.1, 124.3, 125.5, 126.8, 127.1, 127.6, 128.6, 130.0, 131.1, 132.2, 134.9, 135.7, 145.5, 151.6, 164.5 ppm. HRMS (ESI) calcd for $C_{22}H_{17}N_2O_2S$ $[M+H]^+$ 373.1011; found 373.1014.

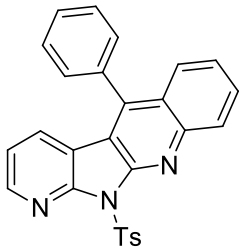
2-chloro-6-(phenylsulfonyl)-6*H*-indolo[2,3-*b*]quinoline (3h) :



To a solution of **3i** (82 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (0.4 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 2.5 h. After the completion of the reaction

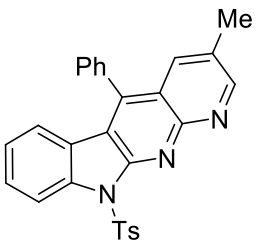
(monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3i** as a yellow solid (61 mg, 0.15 mmol, 75%); m. p. 266-268 °C.^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.29 (s, 3H), 7.00-7.04 (m, 2H), 7.18 (d, *J* = 8.1 Hz, 2H), 7.30-7.37 (m, 3H), 7.50 (s, 1H), 7.71 (d, *J* = 8.1 Hz, 2H), 7.91 (d, *J* = 7.8 Hz, 1H), 8.42 (d, *J* = 7.2 Hz, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.7, 113.4, 121.8, 123.4, 123.8, 124.5, 125.8, 127.1, 127.4, 128.0, 128.2, 129.2, 130.2, 130.5, 132.5, 134.8, 135.7, 145.7, 149.8, 163.7 ppm. HRMS (ESI) calcd for $C_{22}H_{16}ClN_2O_2S$ $[M+H]^+$ 407.0621 ; found 407.0613.

5-phenyl-11-tosyl-11*H*-pyrido[3',2':4,5]pyrrolo[2,3-*b*]quinoline (3i) :



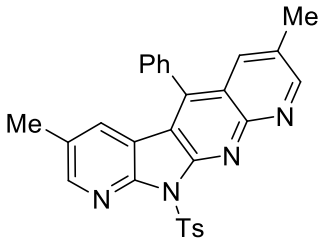
To a solution of **2j** (91 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 2 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 90:10 (v/v) to afford the product **3j** as a yellow solid (76 mg, 0.17 mmol, 85%); m. p. 204-206 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.40 (s, 3H), 7.04-7.12 (m, 2H), 7.26 (d, *J* = 6.6 Hz, 3H), 7.41-7.48 (m, 4H), 7.63-7.68 (m, 3H), 7.78 (t, *J* = 7.5 Hz, 1H), 8.35 (d, *J* = 7.8 Hz, 2H), 8.57 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.7, 114.9, 116.7, 122.7, 122.8, 123.6, 125.2, 125.3, 125.7, 128.4, 129.1, 129.3, 129.4, 129.5, 129.7, 130.7, 134.1, 135.2, 136.0, 139.8, 141.2, 145.1, 146.3, 150.6 ppm. HRMS (ESI) calcd for $C_{27}H_{20}N_3O_2S$ $[M+H]^+$ 450.1276 ; found 450.1716.

3-methyl-5-phenyl-10-tosyl-10*H*-indolo[2,3-*b*][1,8]naphthyridine (3j) :



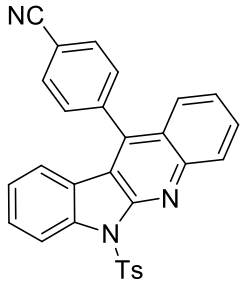
To a solution of **2k** (94 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 3.5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 90:10 (v/v) to afford the product **3k** as a yellow solid (77 mg, 0.16 mmol, 83%); m. p. 236-238 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.32 (s, 3H), 2.45 (s, 3H), 6.87 (d, *J* = 7.6 Hz, 1H), 7.09 (t, *J* = 7.6 Hz, 1H), 7.24-7.26 (m, 2H), 7.38-7.40 (m, 2H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.64 (t, *J* = 3.2 Hz, 3H), 7.74 (s, 1H), 8.32 (d, *J* = 8 Hz, 2H), 8.51 (d, *J* = 8.4 Hz, 1H), 8.97 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 18.7, 21.7, 114.8, 117.5, 119.7, 122.4, 123.0, 123.6, 128.5, 129.2, 129.3, 129.4, 129.7, 130.3, 134.1, 134.8, 136.0, 140.0, 142.9, 145.2, 152.2, 152.5, 154.9 ppm. HRMS (ESI) calcd for $C_{28}H_{22}N_3O_2S$ $[M+H]^+$ 464.1433 ; found 464.1471.

3,7-dimethyl-5-phenyl-11-tosyl-11*H*-pyrido[3',2':4,5]pyrrolo[2,3-*b*][1,8]naphthyridine (3k):



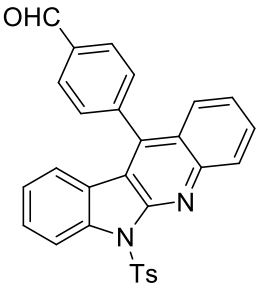
To a solution of **2l** (96 mg, 0.2 mmol) in dichloromethane (2 mL), DDQ (91 mg, 0.4 mmol) was added. The resulting solution was stirred at room temperature for 3.5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 80:20 (v/v) to afford the product **3l** as a yellow solid (72 mg, 0.15 mmol, 75%); m. p. 236-238 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.23 (s, 3H), 2.34 (s, 3H), 2.47 (s, 3H), 6.92 (s, 1H), 7.29 (s, 2H), 7.39 (s, 2H), 7.67 (s, 3H), 7.77 (s, 1H), 8.42 (s, 3H), 9.01 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 18.4, 18.7, 21.7, 115.6, 119.6, 128.5, 128.7, 129.1, 129.5, 129.6, 131.2, 132.0, 132.1, 132.2, 132.3, 134.0, 134.5, 136.6, 143.9, 145.1, 148.9, 150.9, 151.6, 155.3 ppm. HRMS (ESI) calcd for $C_{28}H_{23}N_4O_2S$ $[M+H]^+$ 479.1542; found 479.1644.

4-(6-tosyl-6*H*-indolo[2,3-*b*]quinolin-11-yl)benzonitrile (3l) :



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), (4-cyanophenyl)boronic acid (66 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. To a solution of this crude product in dichloromethane (2 mL), DDQ (136 mg, 0.6 mmol) was added. The resulting solution was stirred at room temperature for 2 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **3m** as a yellow solid (105 mg, 0.22 mmol, 74 %); m. p. 236-238 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.30 (s, 3H), 7.04-7.13 (m, 4H), 7.18 (d, J = 8.4 Hz, 2H), 7.23-7.41 (m, 3H), 7.50-7.54 (m, 2H), 7.63-7.68 (m, 1H), 7.73 (d, J = 8.4 Hz, 2H), 7.90 (d, J = 7.6 Hz, 1H), 8.50-8.52 (m, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.6, 113.3, 118.4, 122.2, 123.1, 123.6, 124.1, 125.5, 126.8, 127.1, 127.6, 128.5, 129.8, 130.3, 132.2, 132.7, 134.9, 135.7, 145.5, 151.5, 164.6 ppm. HRMS (ESI) calcd for $C_{29}H_{20}N_3O_2S$ $[M+H]^+$ 474.1276; found 474.1258.

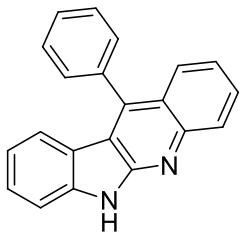
4-(6-tosyl-6*H*-indolo[2,3-*b*]quinolin-11-yl)benzaldehyde (3m) :



To a solution of **1a** (136 mg, 0.3 mmol) in 2.5 M K_2CO_3 (1 mL), 2 mL ethanol-toluene (1:1), (4-formylphenyl)boronic acid (69 mg, 0.45 mmol), PCy_3 (8 mg, 0.03 mmol) and $Pd(OAc)_2$ (4 mg, 0.015 mmol) were added successively. The resulting solution was stirred at 75-75 °C under argon

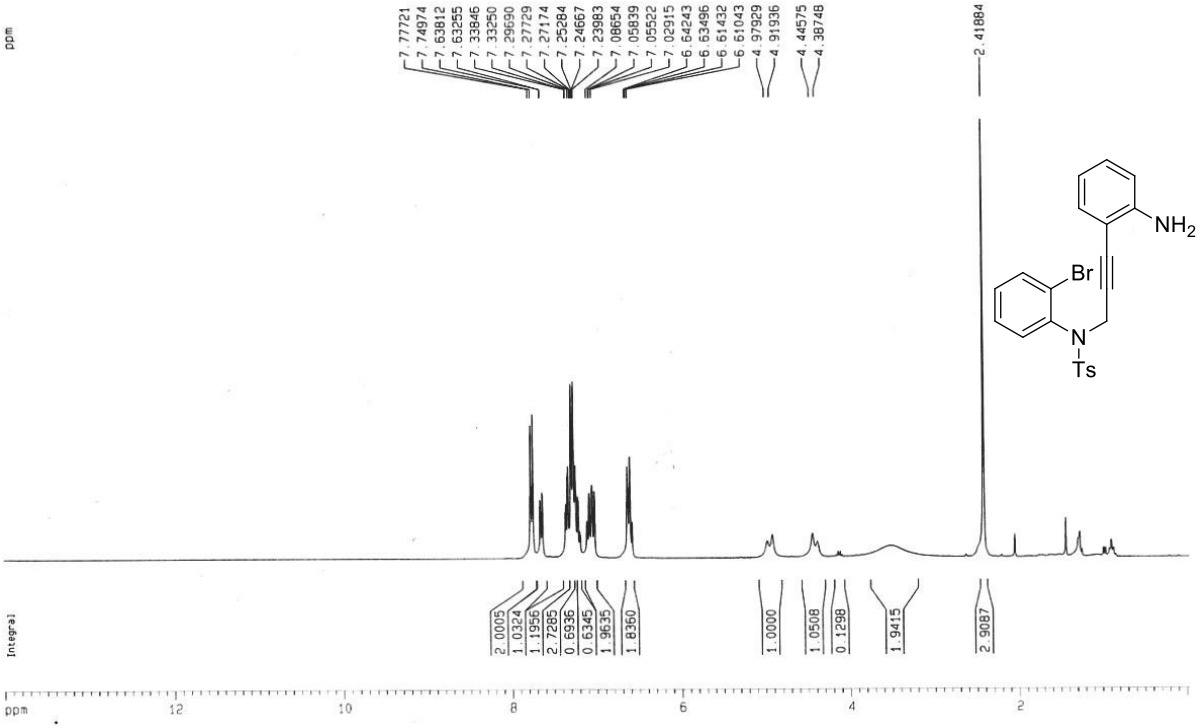
atmosphere for 3 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with brine solution, dried over anhydrous Na_2SO_4 and concentrated. To a solution of this crude product in dichloromethane (2 mL), DDQ (136 mg, 0.06 mmol) was added. The resulting solution was stirred at room temperature for 2.5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with dichloromethane. The organic extract was washed with sodium bicarbonate solution, dried over anhydrous Na_2SO_4 and concentrated The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 90:10 (v/v) to afford the product **3n** as a yellow solid (99 mg, 0.21 mmol, 71 %); m. p. 236-238 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 2.33 (s, 3H), 6.81 (s, 1H), 7.09 (s, 1H), 7.25 (s, 3H), 7.45-7.62 (m, 5H), 7.77 (s, 1H), 8.17-8.29 (m, 4H), 8.51-8.53 (m, 1H), 10.22 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 21.7, 115.0, 116.4, 122.5, 122.7, 123.7, 124.7, 125.5, 128.5, 129.2, 129.4, 129.5, 129.6, 130.0, 130.2, 130.6, 136.0, 136.8, 139.9, 140.8, 142.2, 145.2, 146.3, 150.5, 191.8 ppm. HRMS (ESI) calcd for $C_{29}H_{21}N_2O_3S$ $[M+H]^+$ 477.1273; found 477.1230.

11-phenyl-6*H*-indolo[2,3-*b*]quinoline (4) :

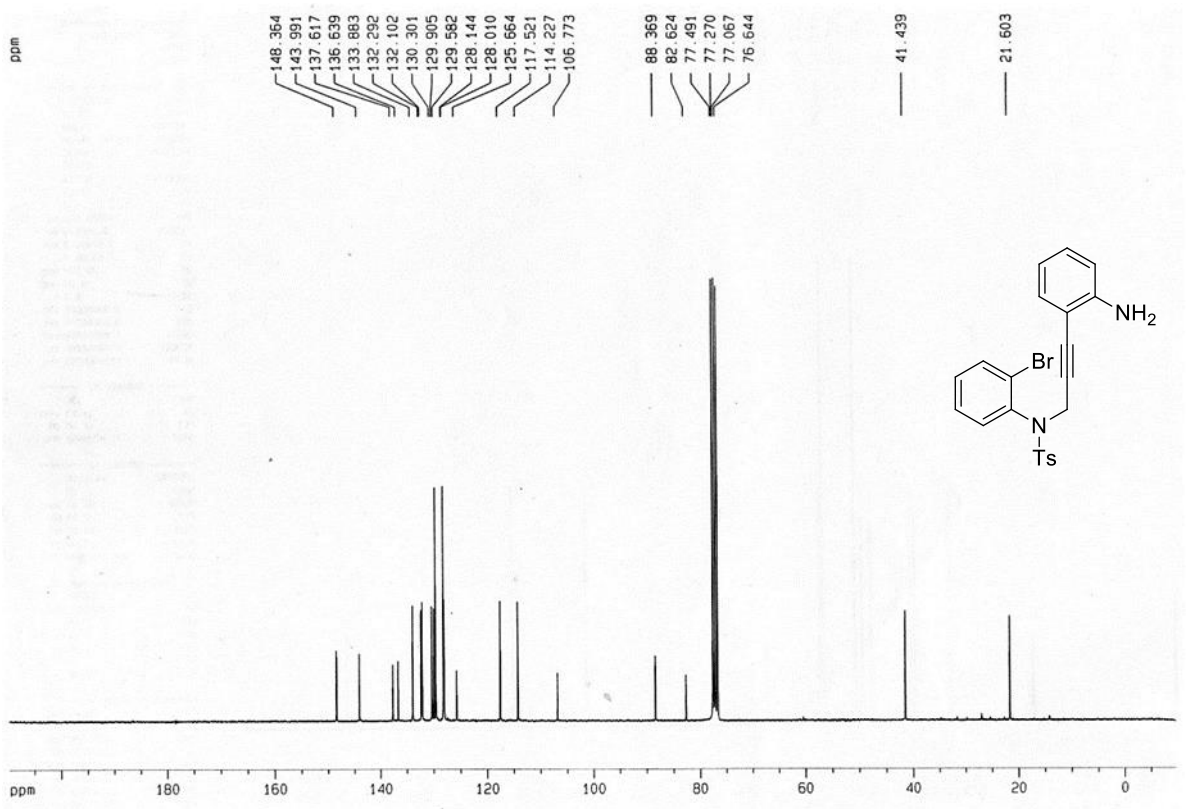


To a solution of **3a** (78 mg, 0.175 mmol) in methanol (2 mL), NaOH (14 mg, 0.35 mmol), water (1 mL) were added successively. The resulting solution was refluxed in a sealed tube for 5 h. After the completion of the reaction (monitored by TLC), the crude reaction mixture was extracted with ethyl acetate. The organic extract was washed with water, dried over anhydrous Na_2SO_4 and concentrated. The product was subjected to column chromatography (silica gel, 60-120 mesh), eluting with pet ether/EtOAc 95:5 (v/v) to afford the product **4** as a yellow solid (41 mg, 0.14 mmol, 82%). ^{1}H NMR ($CDCl_3$, 500 MHz) δ 6.97 (t, J = 7.0 Hz, 1H), 7.06 (d, J = 8.0 Hz, 1H), 7.25-7.45 (m, 2H), 7.48 (d, J = 8 Hz, 1H), 7.49-7.56 (m, 2H), 7.62-7.68 (m, 3H), 7.73-7.78 (m, 2H), 7.77 (d, J = 8.0 Hz, 1H), 9.8 (brs, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 110.7, 116.6, 120.2, 121.4, 123.2, 123.3, 124.1, 126.7, 127.1, 128.1, 128.7, 129.1, 129.5, 136.5, 141.2, 143.0, 146.6, 153.0 ppm. HRMS (ESI) calcd for $C_{21}H_{15}N_2$ $[M+H]^+$ 295.1235; found 295.1219.

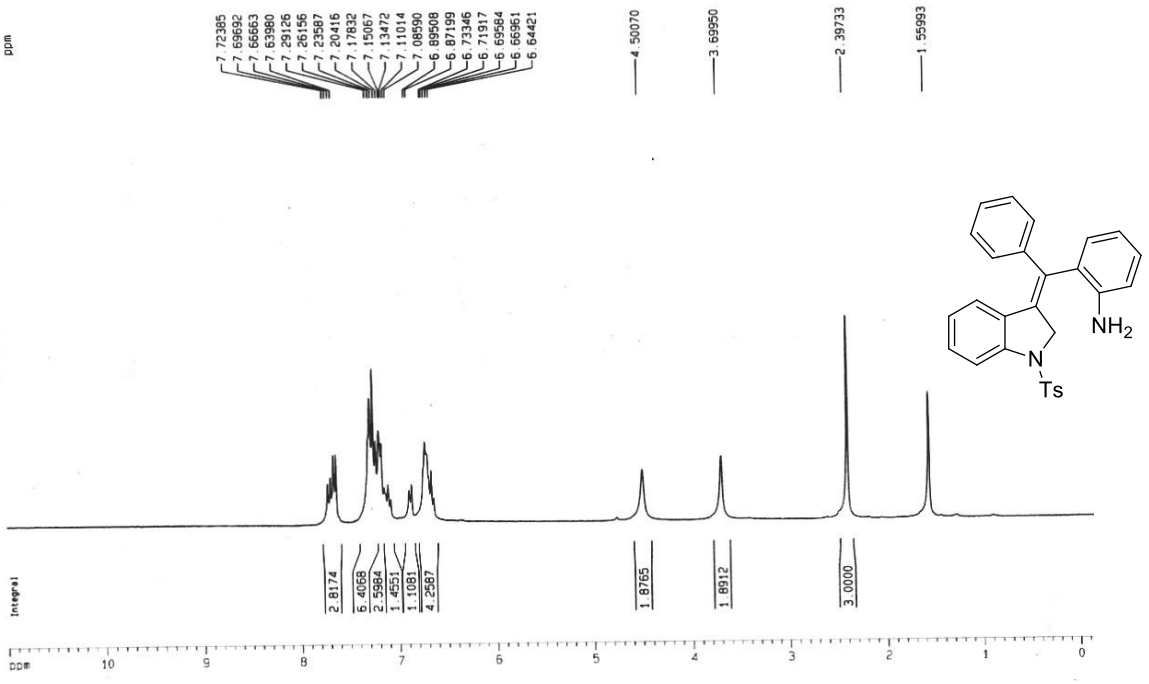
^{1}H NMR spectrum of compound **1a**, $CDCl_3$, 300 MHz



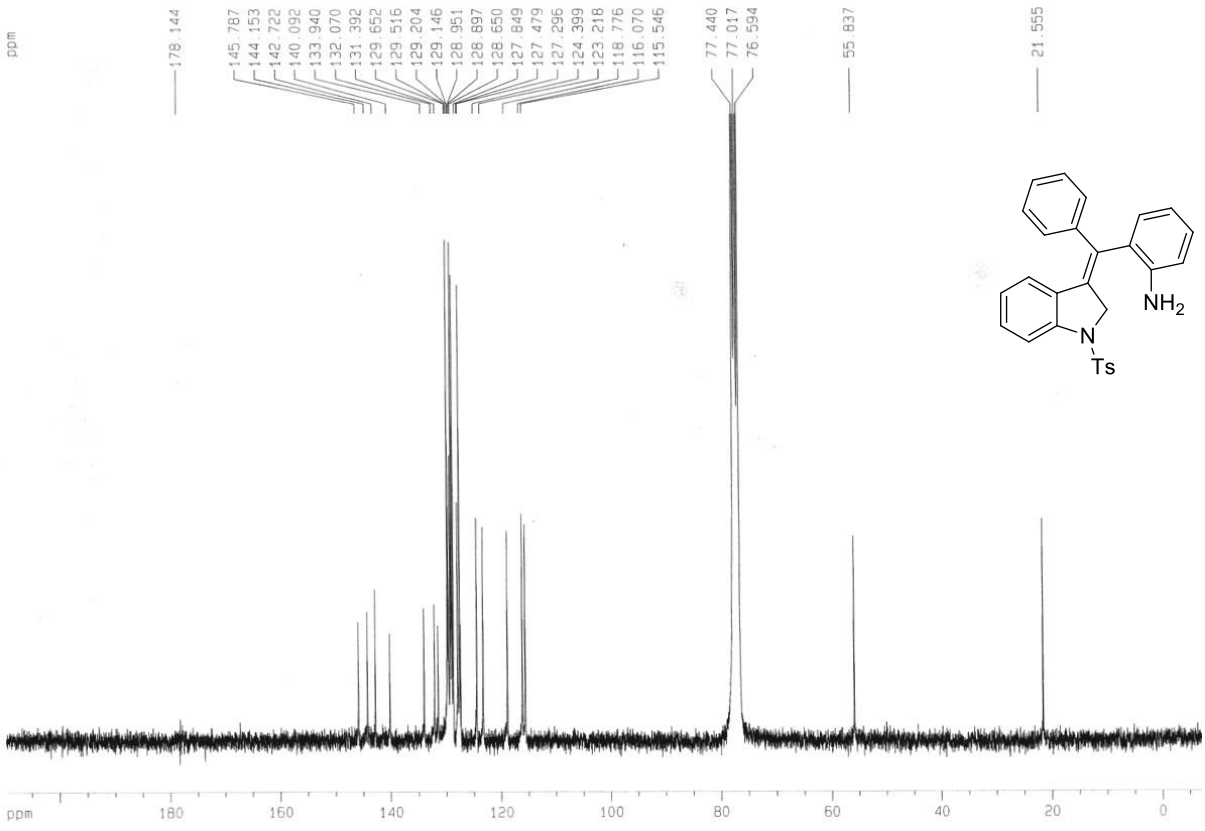
^{13}C NMR spectrum of compound **1a**, $CDCl_3$, 75 MHz



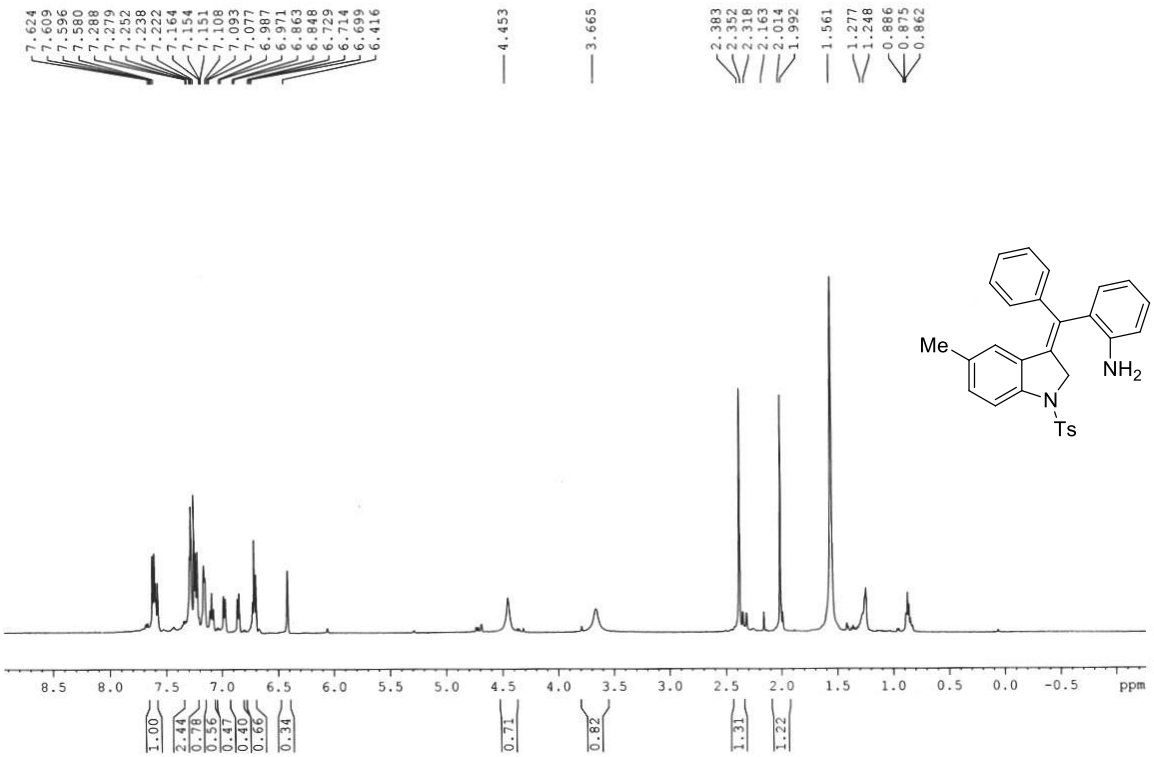
^{1}H NMR spectrum of compound **2a**, $CDCl_3$, 300 MHz



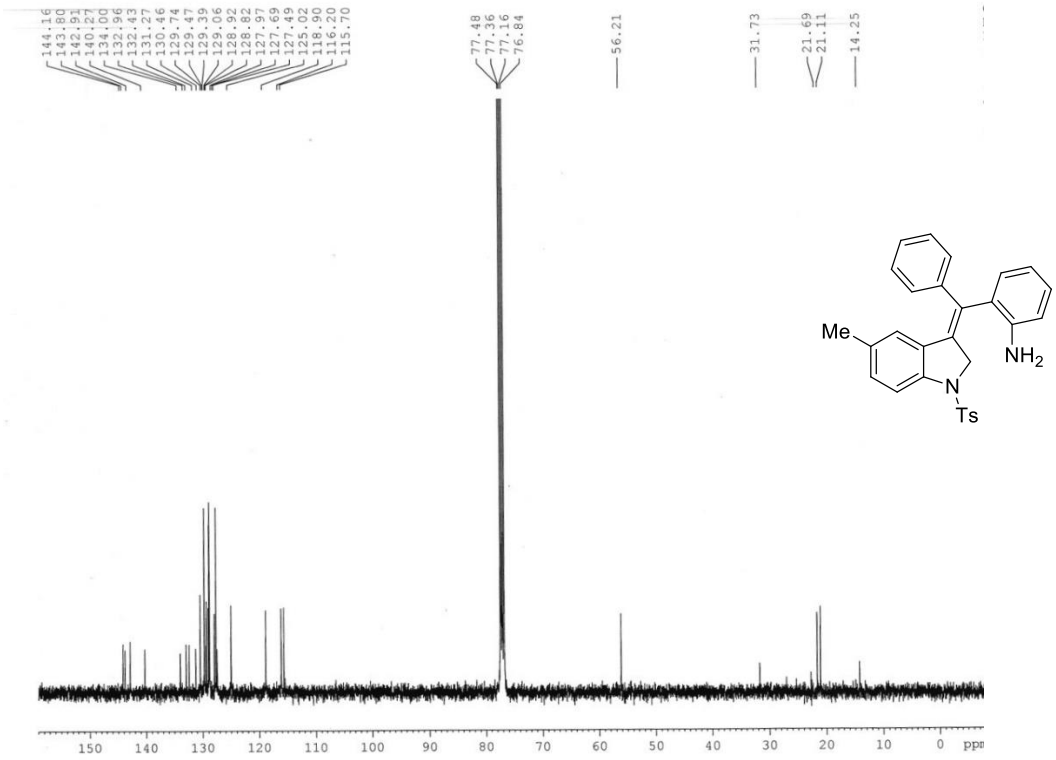
^{13}C NMR spectrum of compound **2a**, $CDCl_3$, 75 MHz



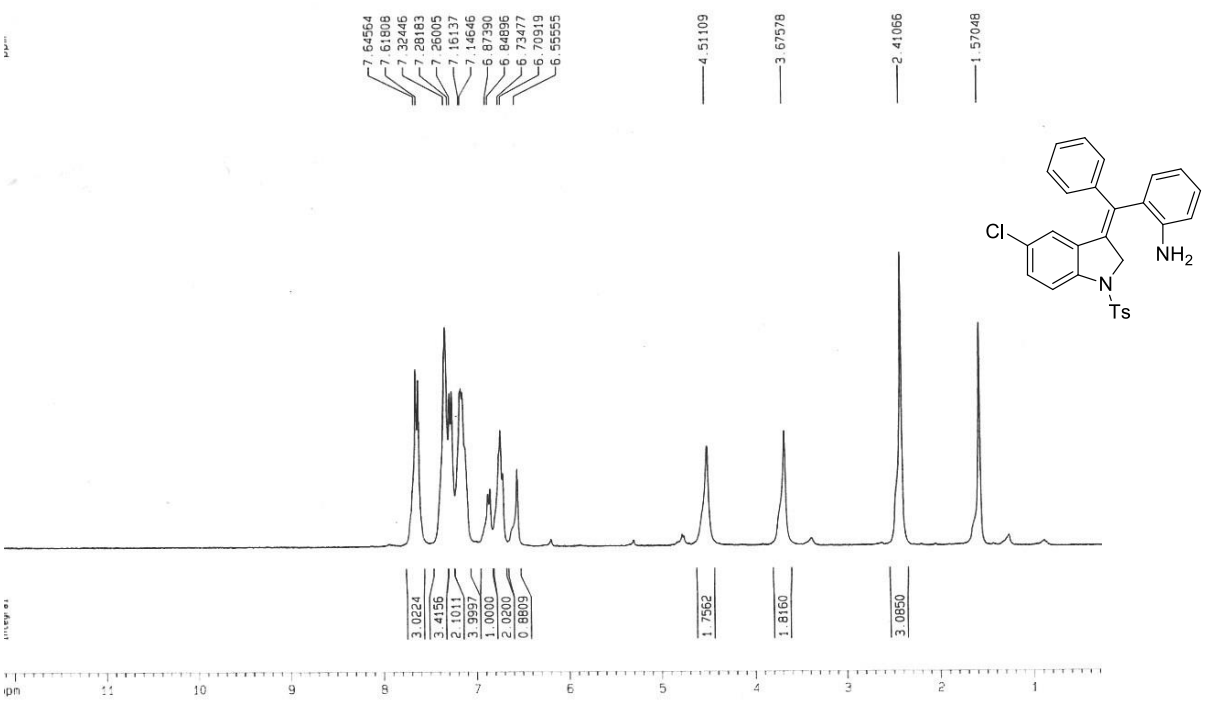
^{1}H NMR spectrum of compound **2b**, $CDCl_3$, 500 MHz



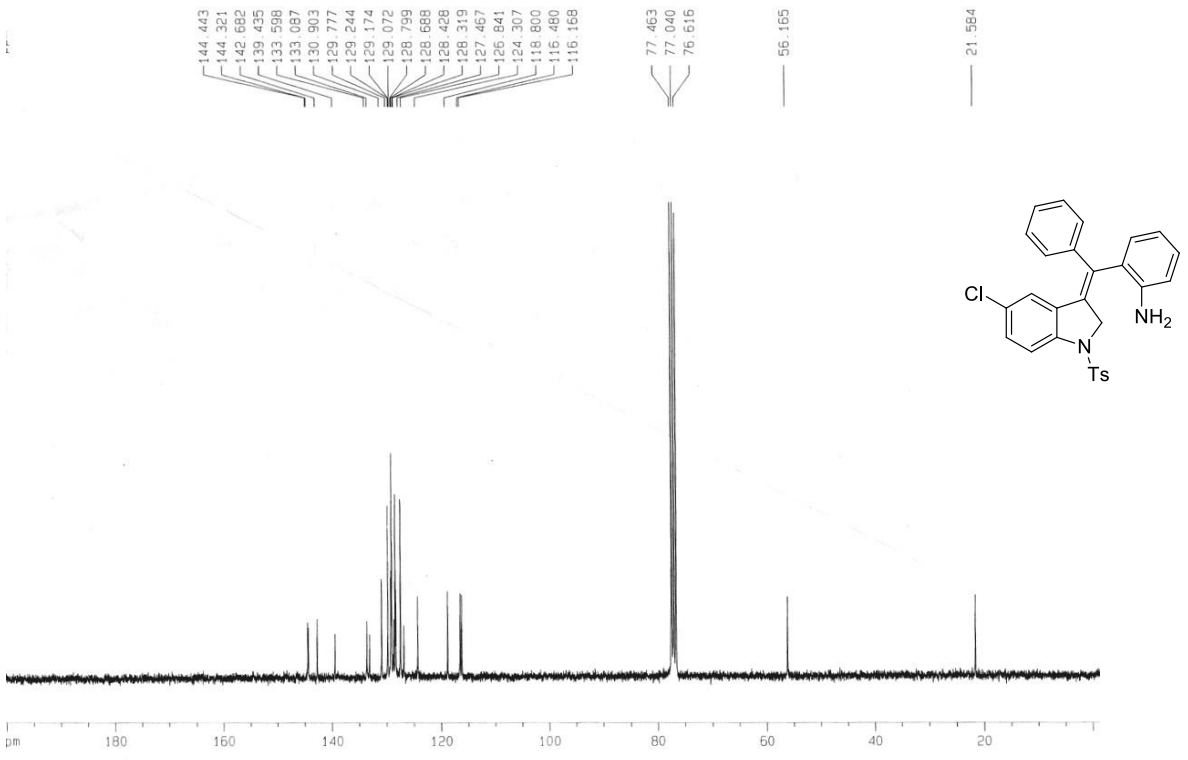
^{13}C NMR spectrum of compound **2b**, $CDCl_3$, 100 MHz



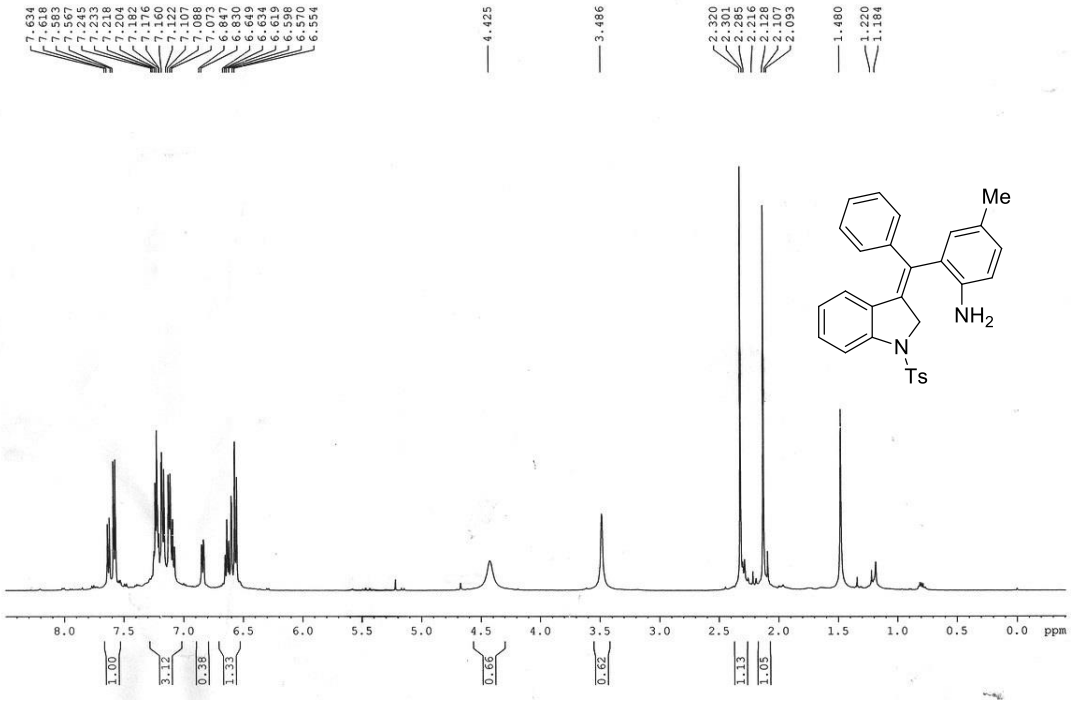
^{1}H NMR spectrum of compound **2c**, $CDCl_3$, 300 MHz



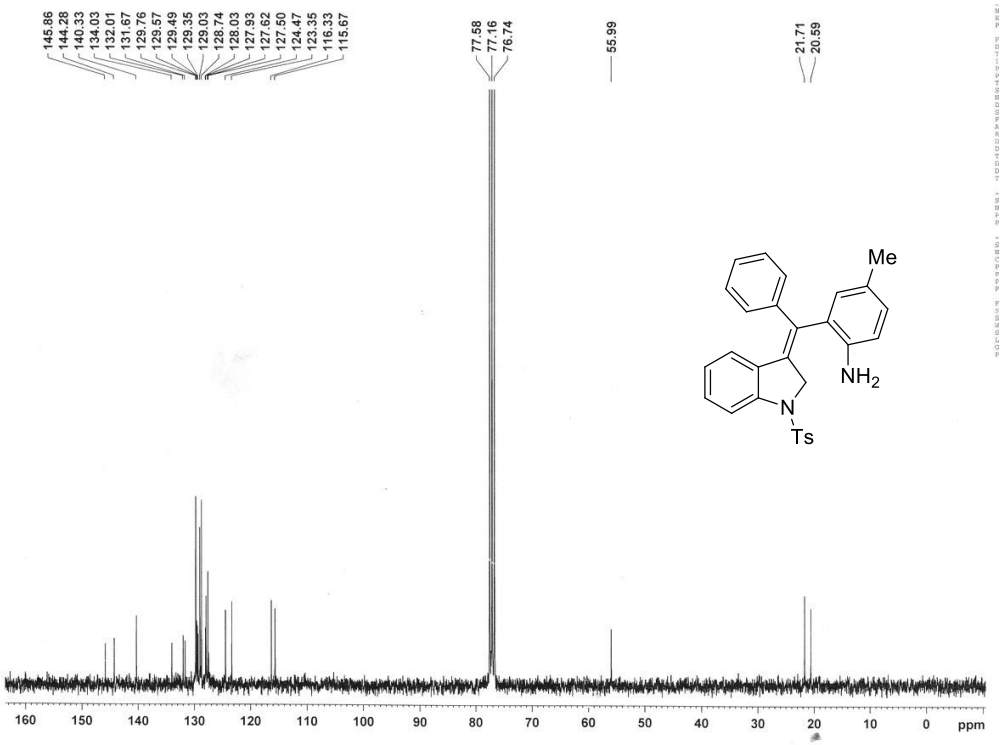
^{13}C NMR spectrum of compound **2c**, $CDCl_3$, 75 MHz



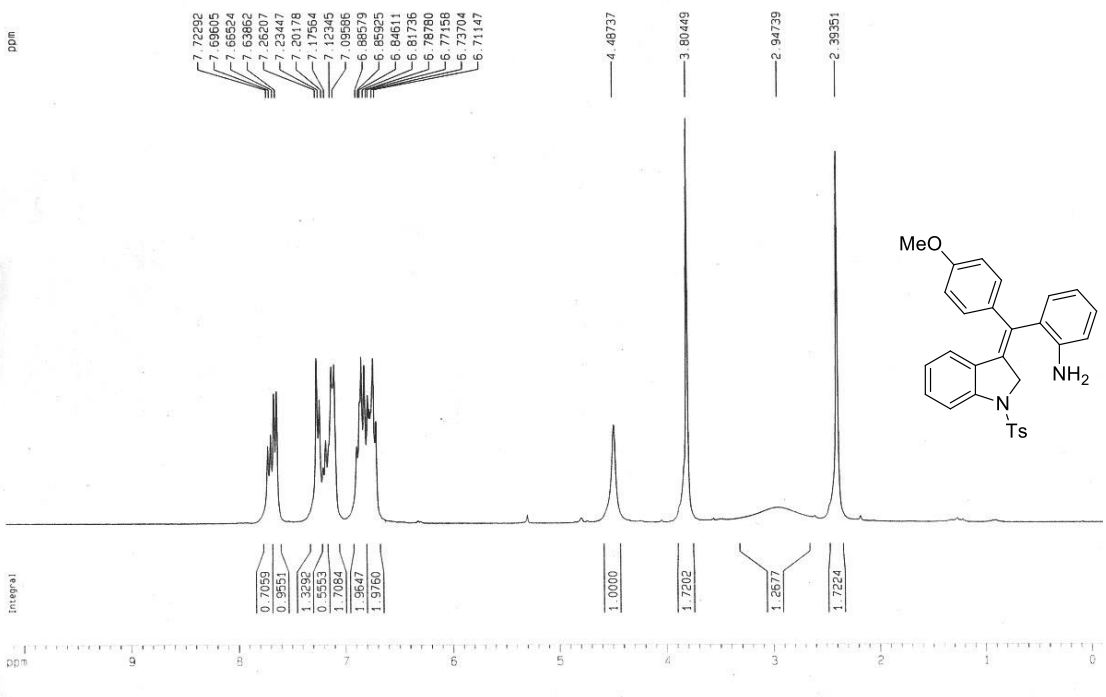
^{1}H NMR spectrum of compound **2d**, $CDCl_3$, 500 MHz



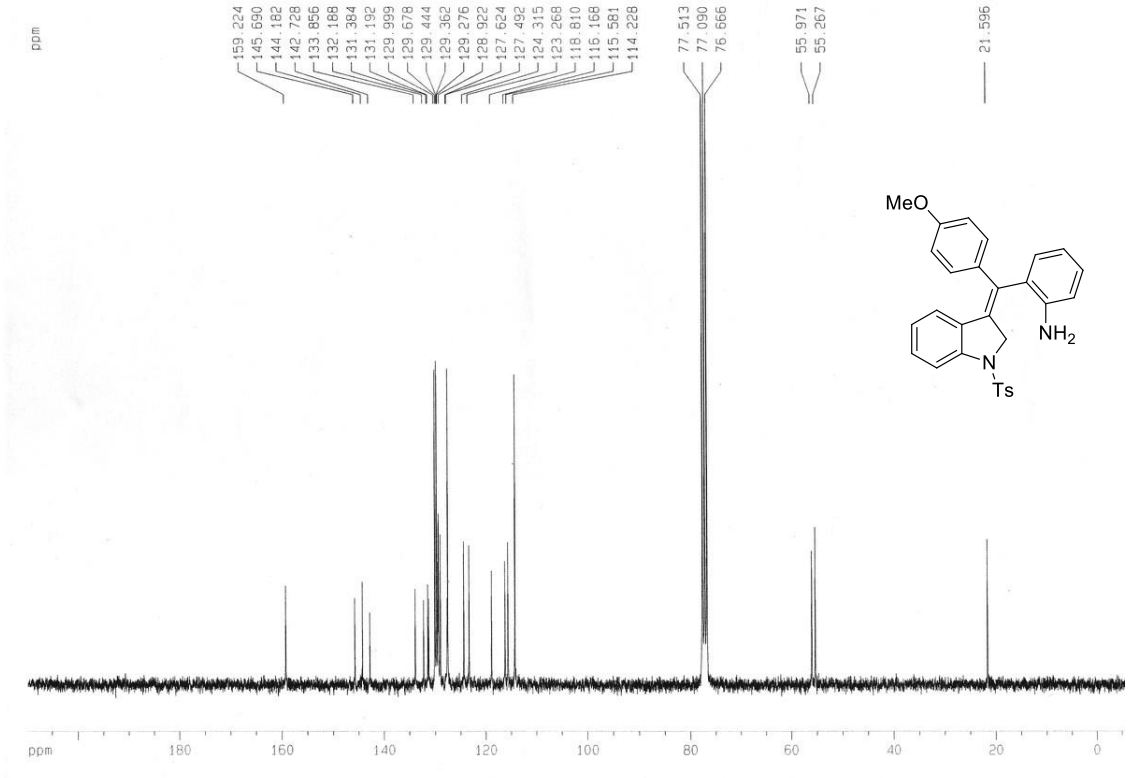
^{13}C NMR spectrum of compound **2d**, $CDCl_3$, 300 MHz



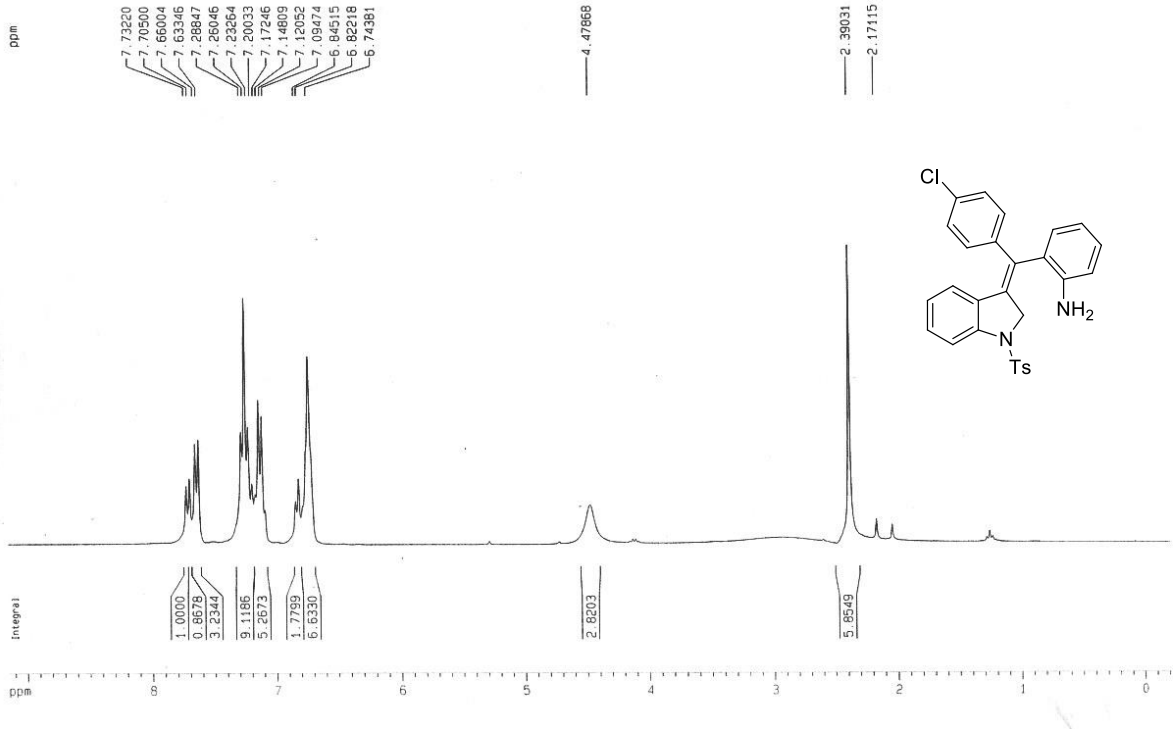
^{1}H NMR spectrum of compound **2e**, $CDCl_3$, 300 MHz



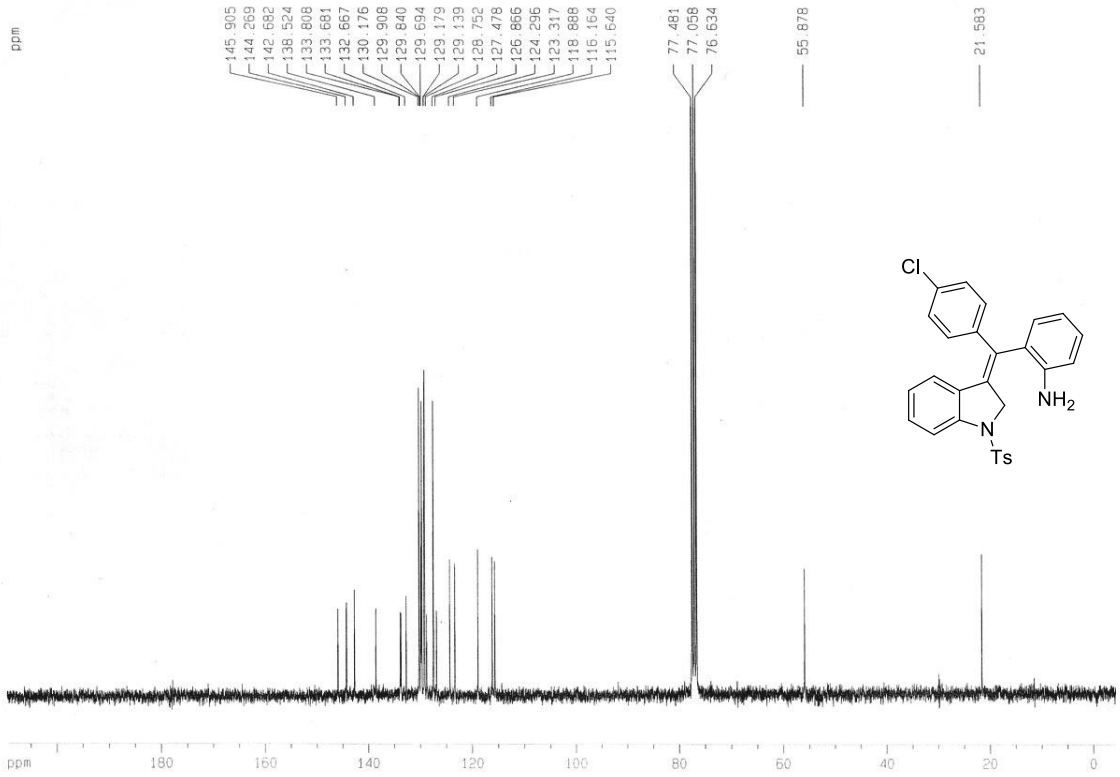
^{13}C NMR spectrum of compound **2e**, $CDCl_3$, 75 MHz



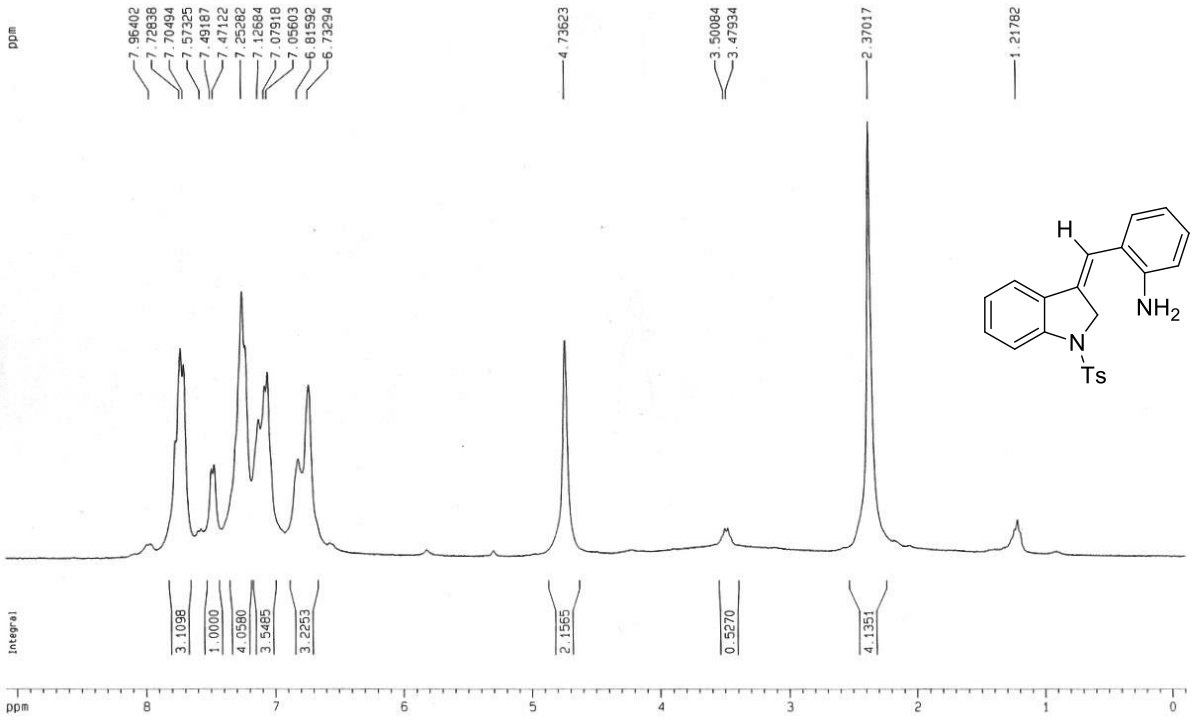
^{1}H NMR spectrum of compound **2f**, $CDCl_3$, 300 MHz



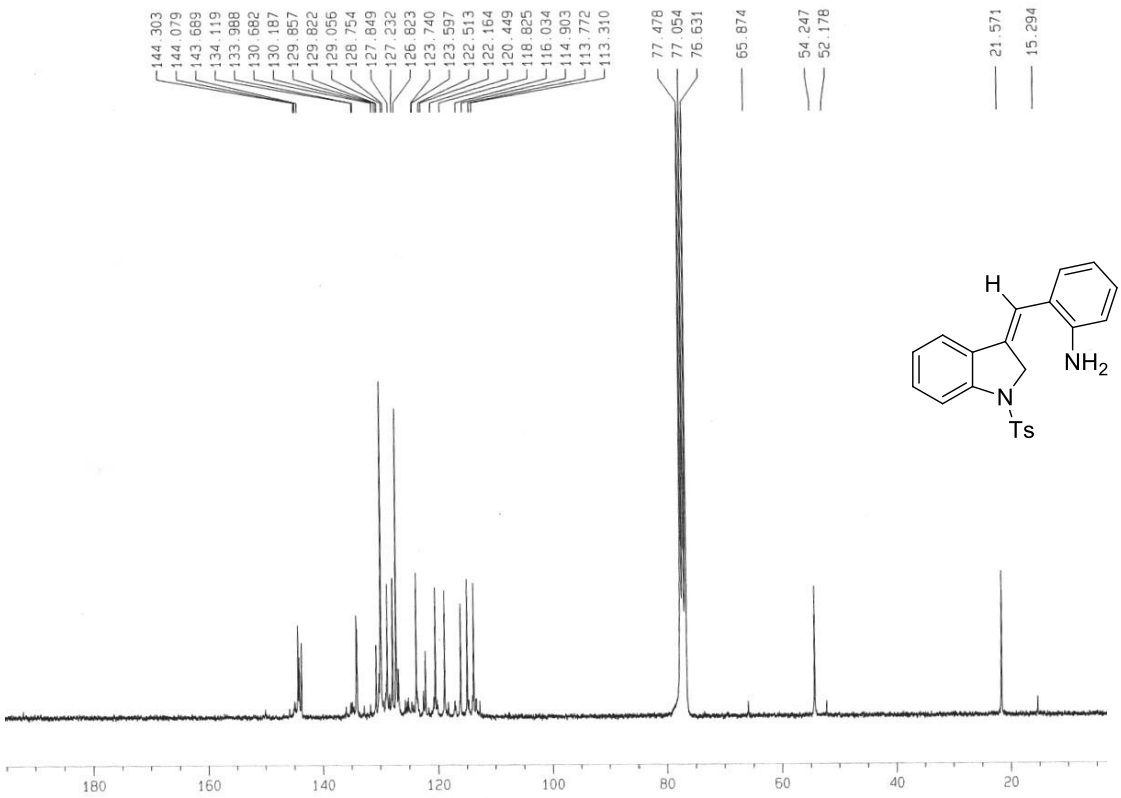
^{13}C NMR spectrum of compound **2f**, $CDCl_3$, 75 MHz



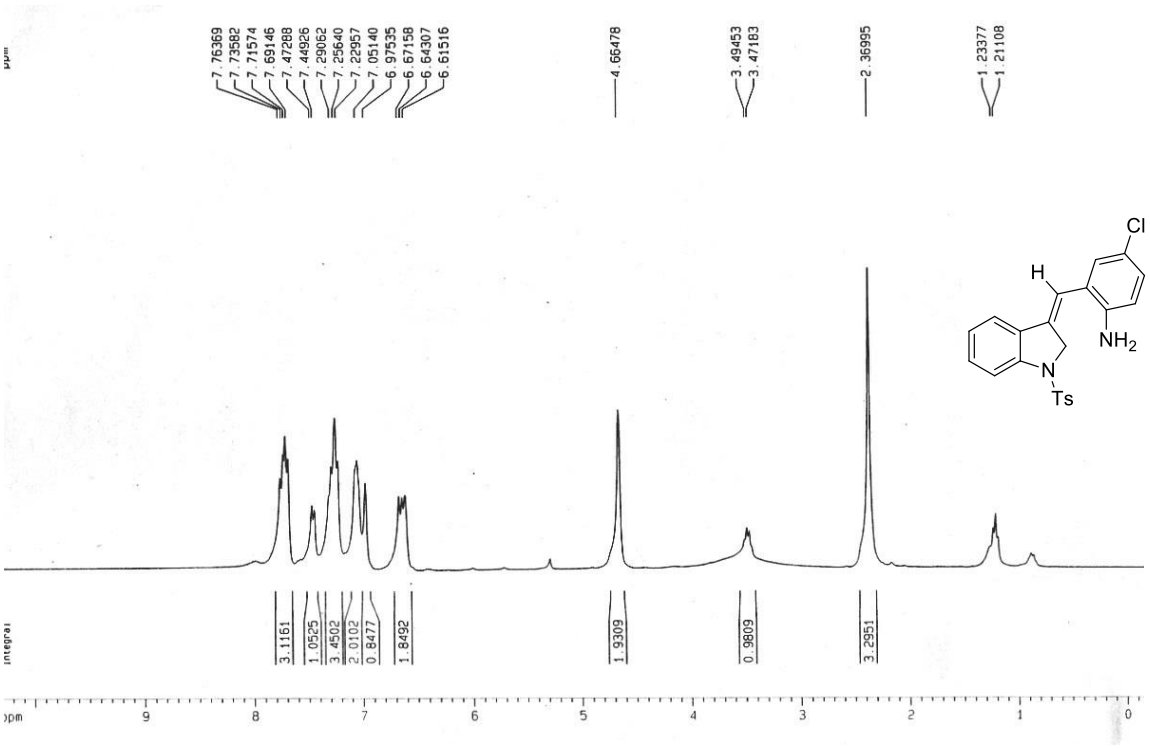
^{1}H NMR spectrum of compound **2g**, $CDCl_3$, 300 MHz



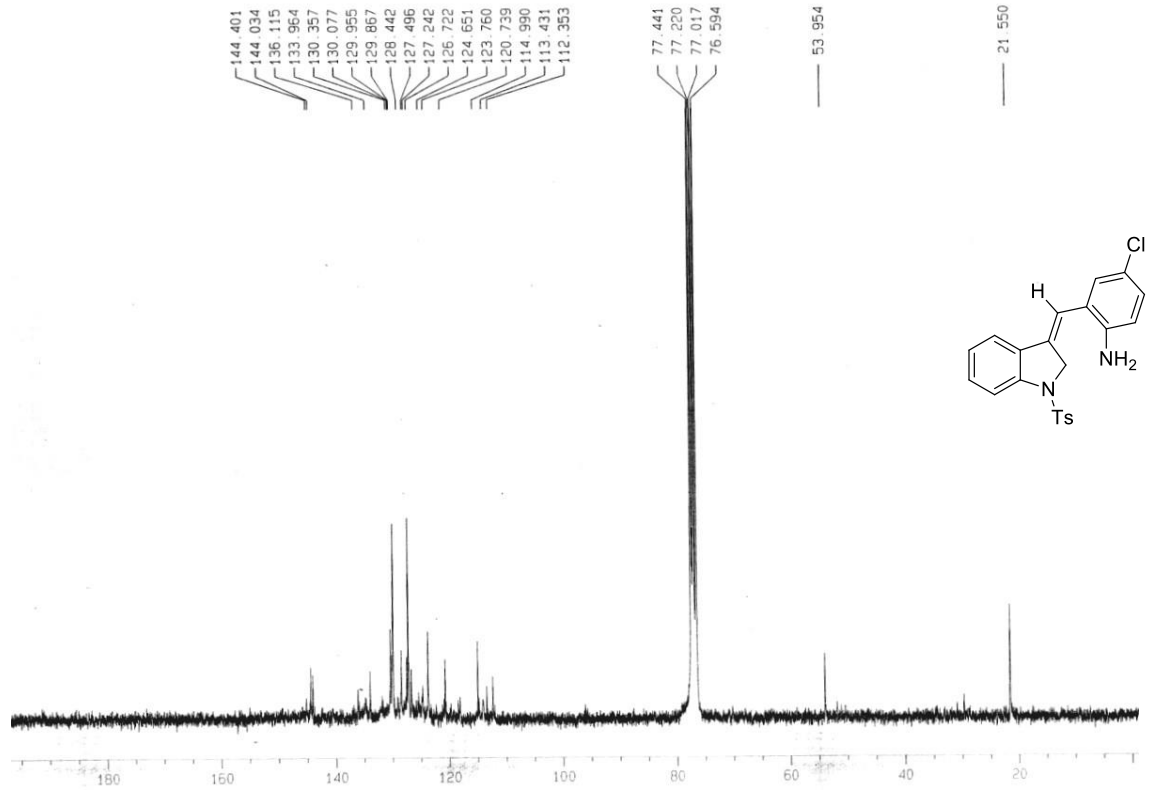
^{13}C NMR spectrum of compound **2g**, $CDCl_3$, 75 MHz



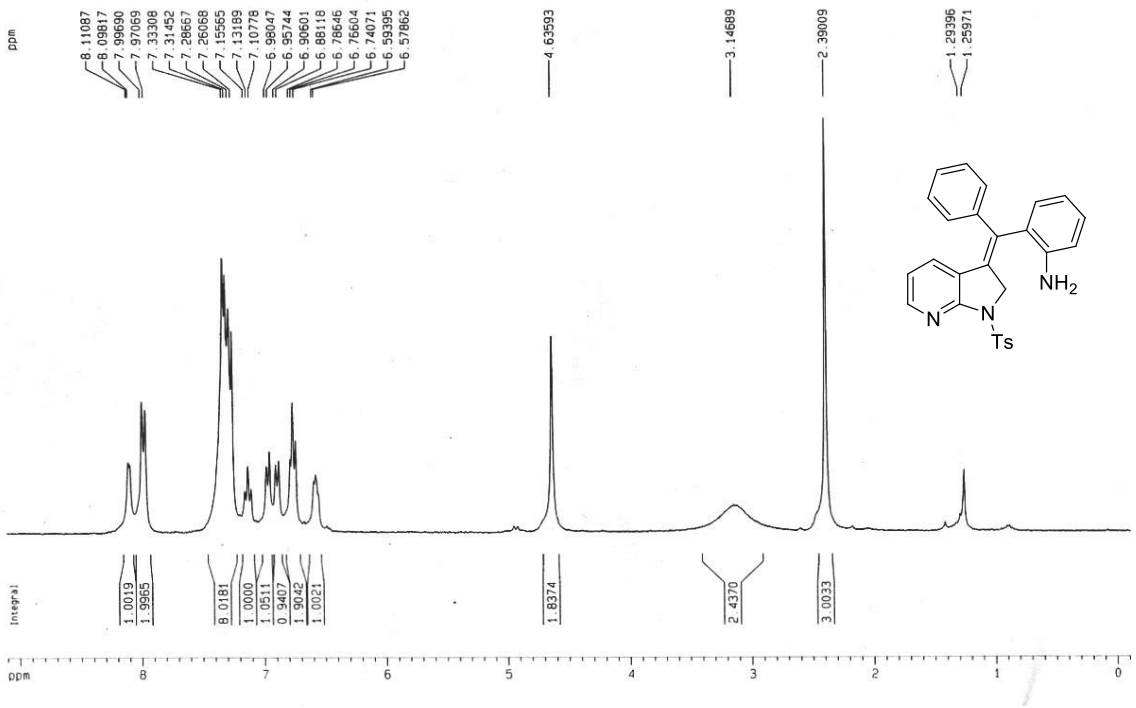
^{1}H NMR spectrum of compound **2h**, $CDCl_3$, 300 MHz



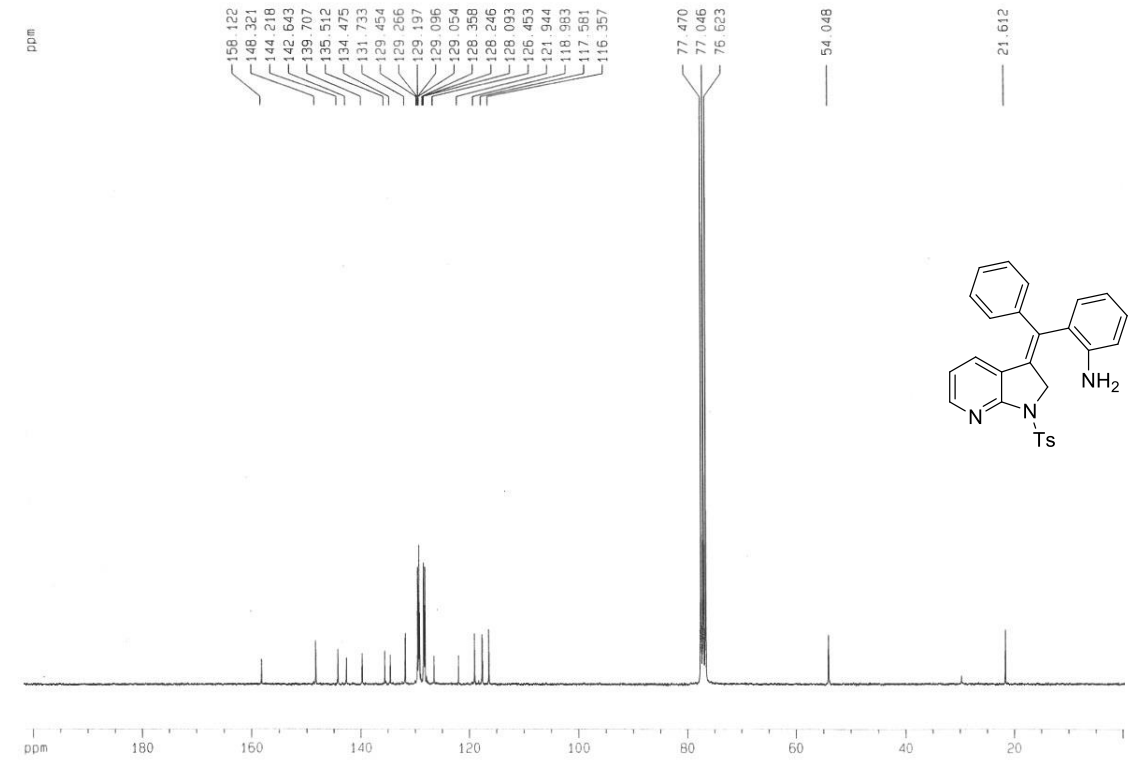
^{13}C NMR spectrum of compound **2h**, $CDCl_3$, 75 MHz



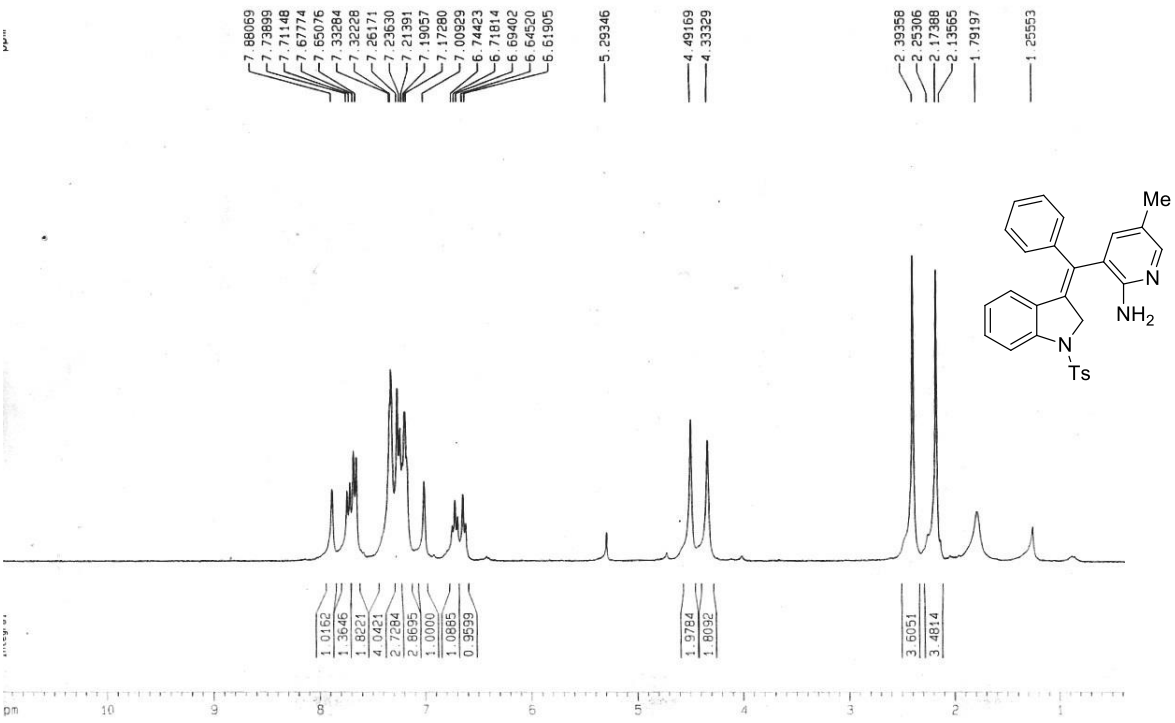
^{1}H NMR spectrum of compound **2i**, $CDCl_3$, 300 MHz



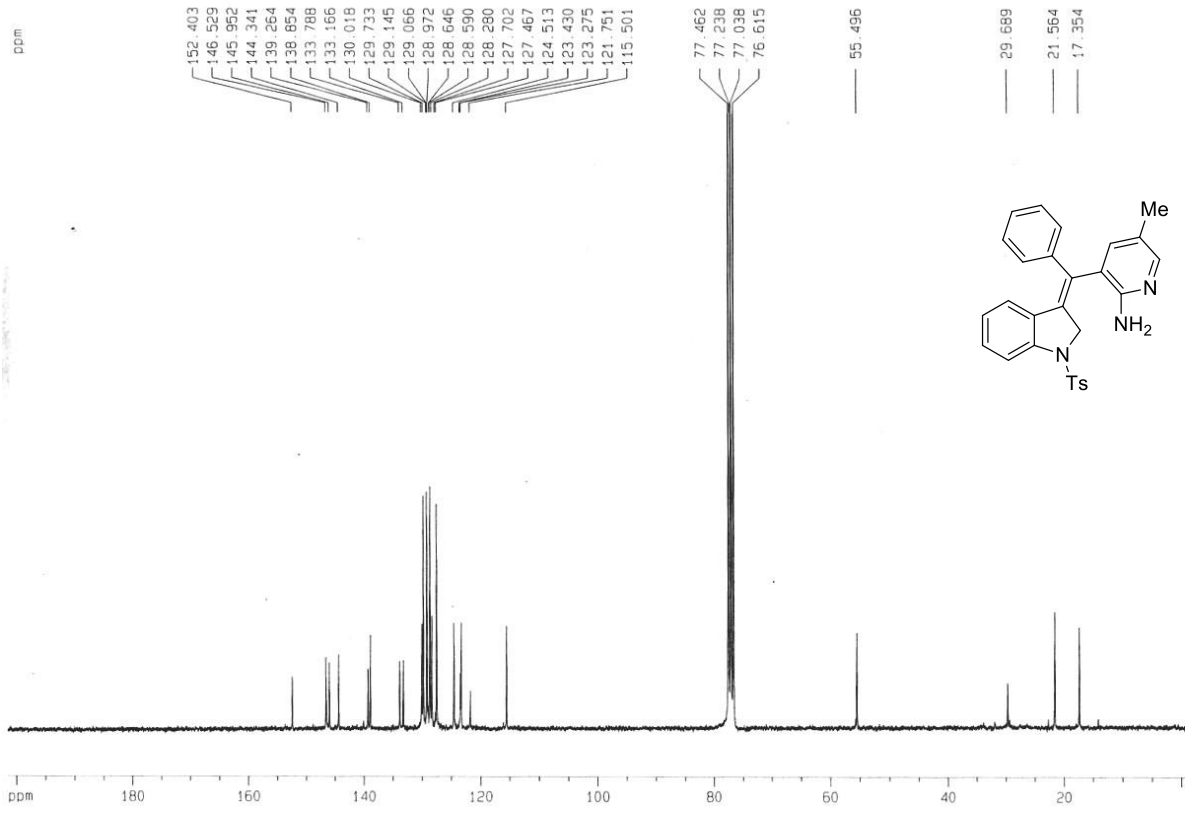
^{13}C NMR spectrum of compound **2i**, $CDCl_3$, 75 MHz



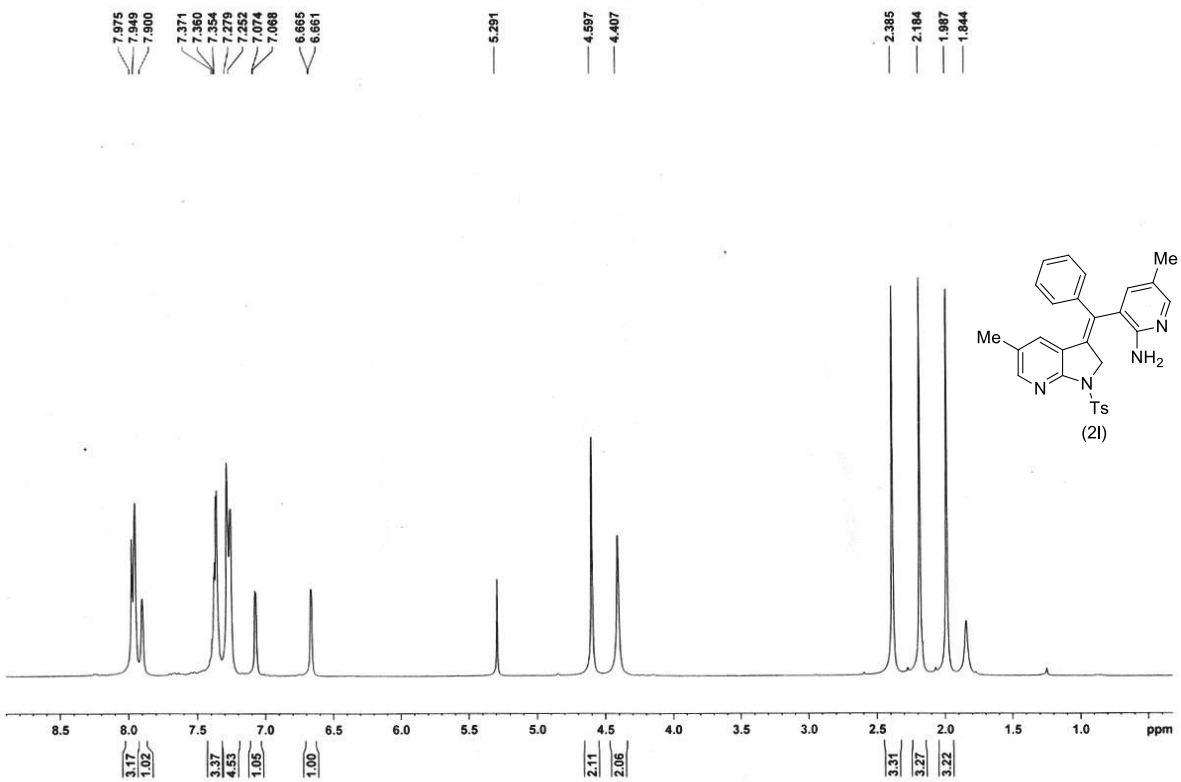
^{1}H NMR spectrum of compound **2j**, $CDCl_3$, 300 MHz



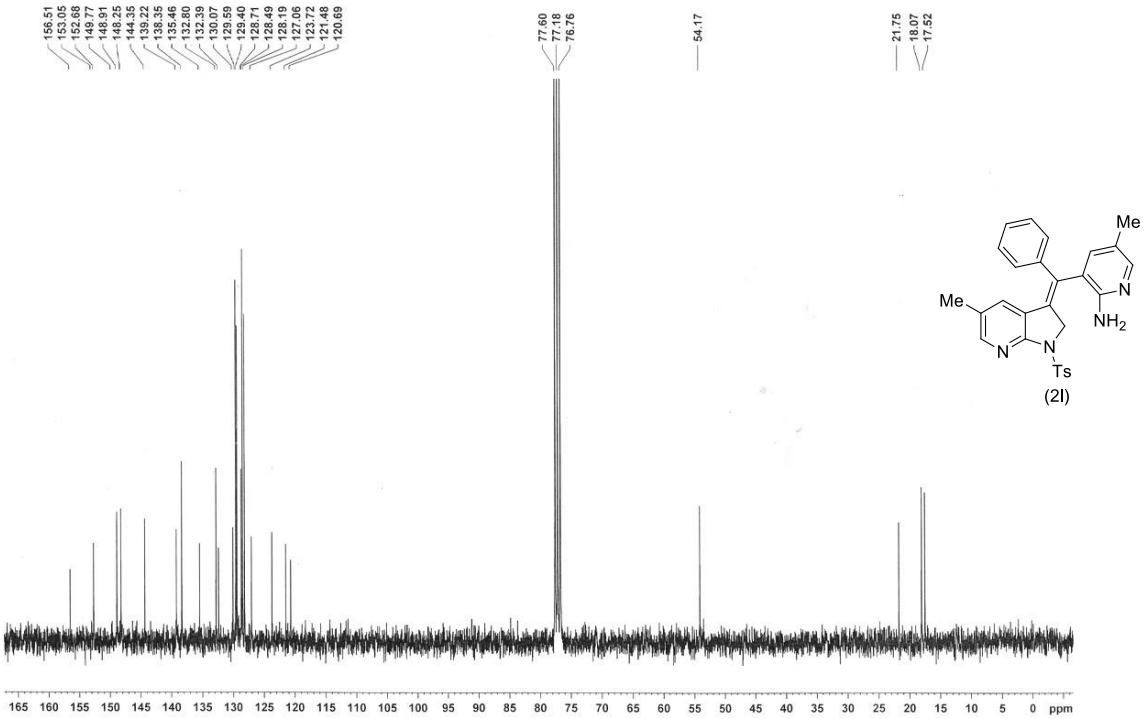
^{13}C NMR spectrum of compound **2j**, $CDCl_3$, 100 MHz



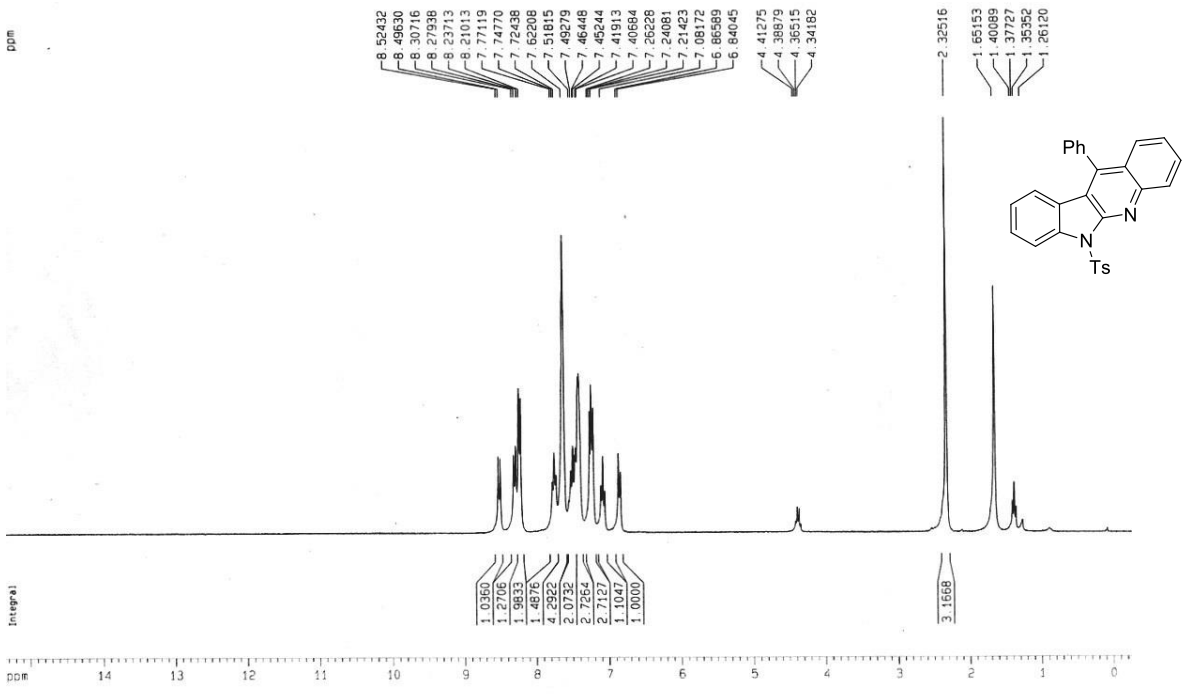
^{1}H NMR spectrum of compound **2k**, $CDCl_3$, 500 MHz



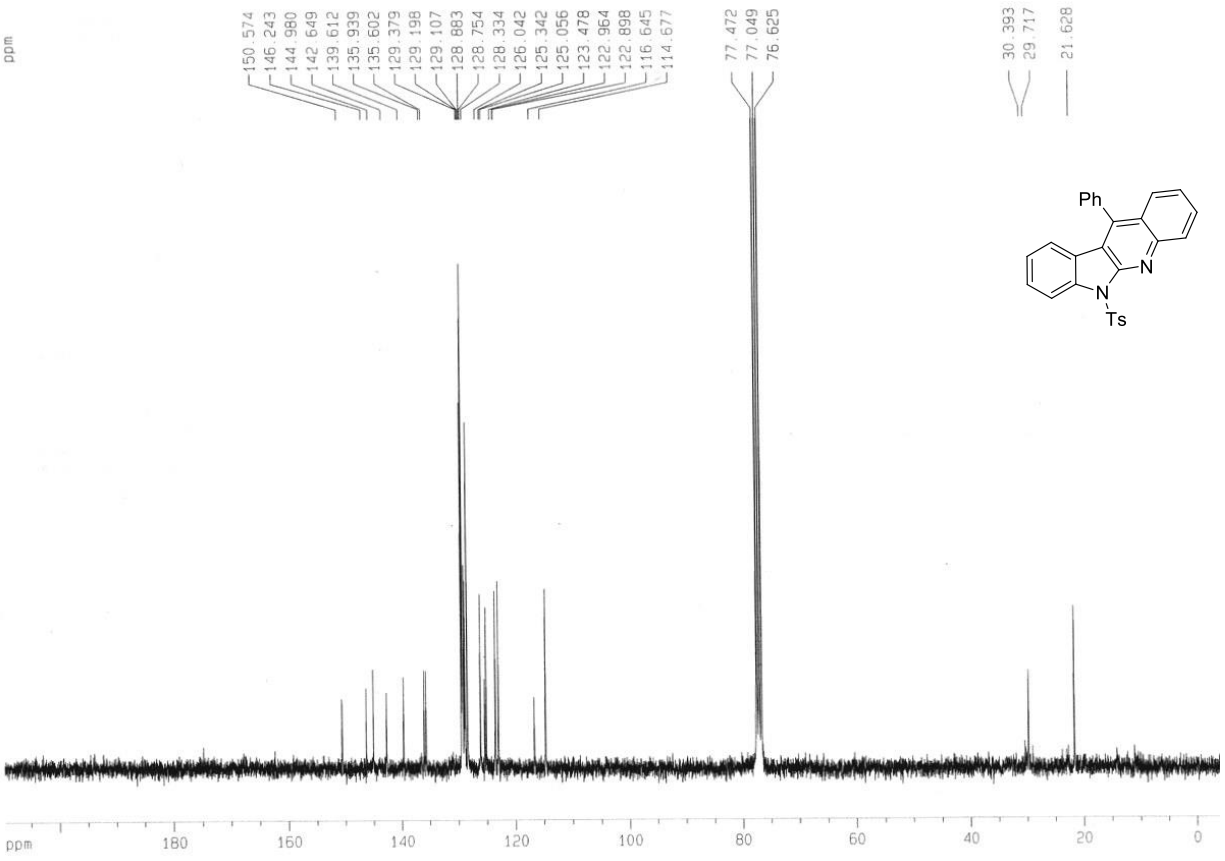
^{13}C NMR spectrum of compound **2k**, $CDCl_3$, 75 MHz



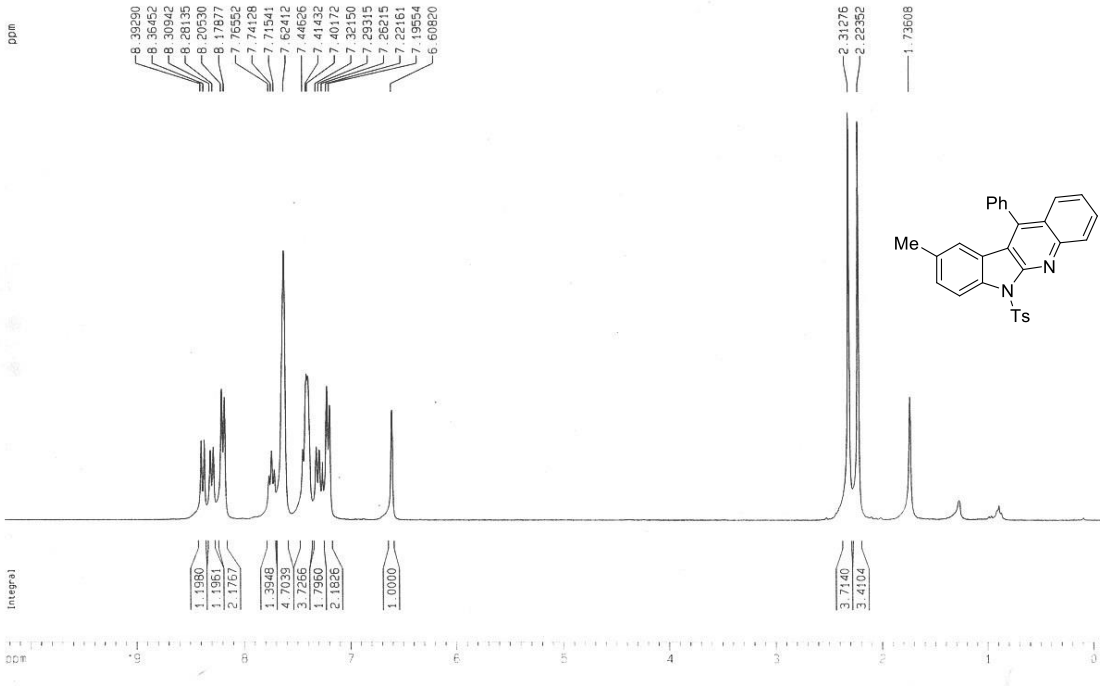
^{1}H NMR spectrum of compound **3a**, $CDCl_3$, 300 MHz



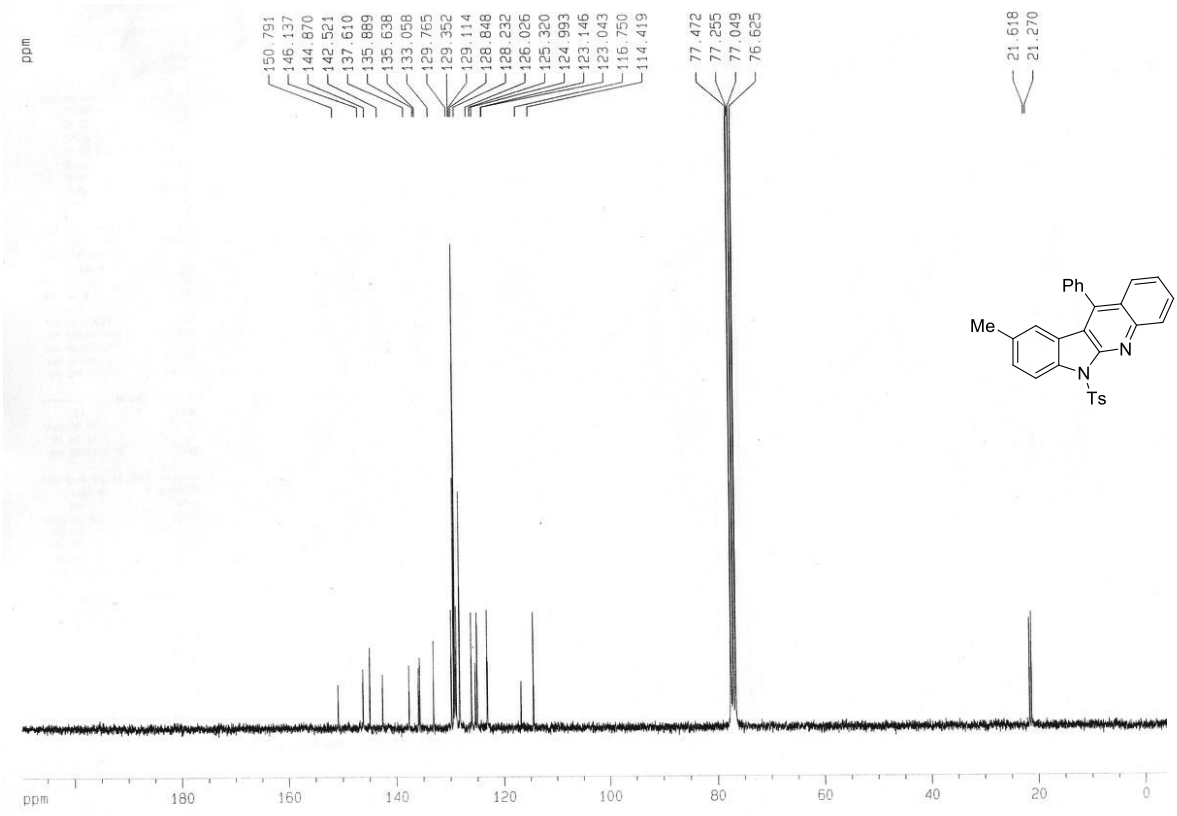
^{13}C NMR spectrum of compound **3a**, $CDCl_3$, 75 MHz



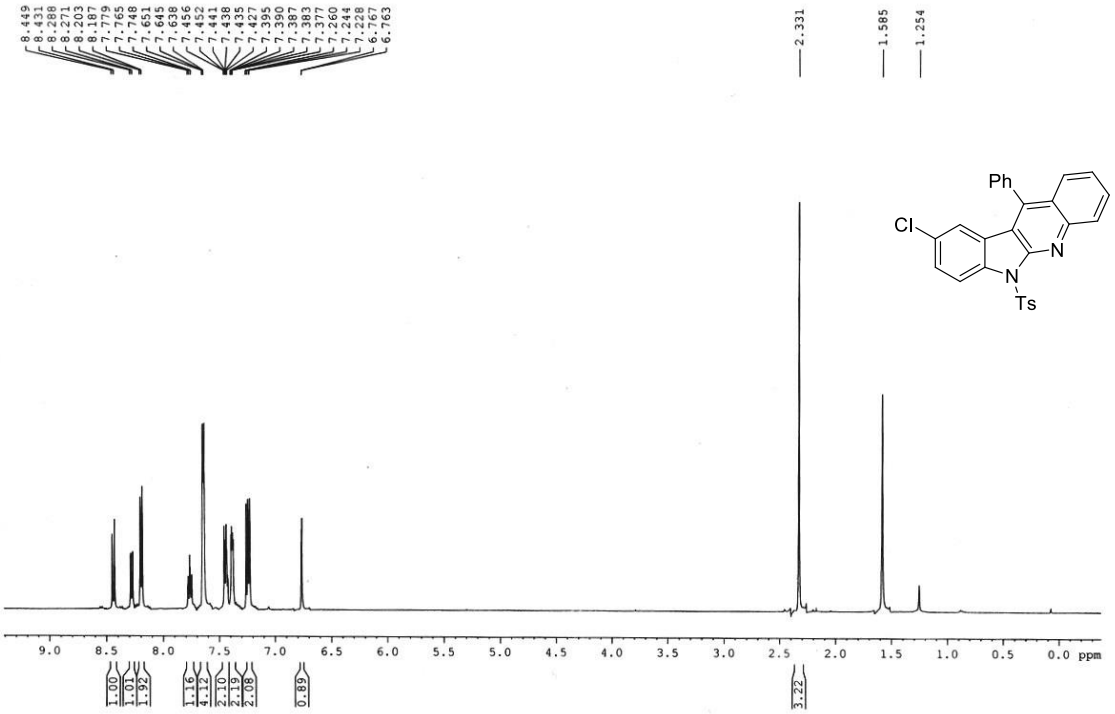
^{1}H NMR spectrum of compound **3b**, $CDCl_3$, 300 MHz



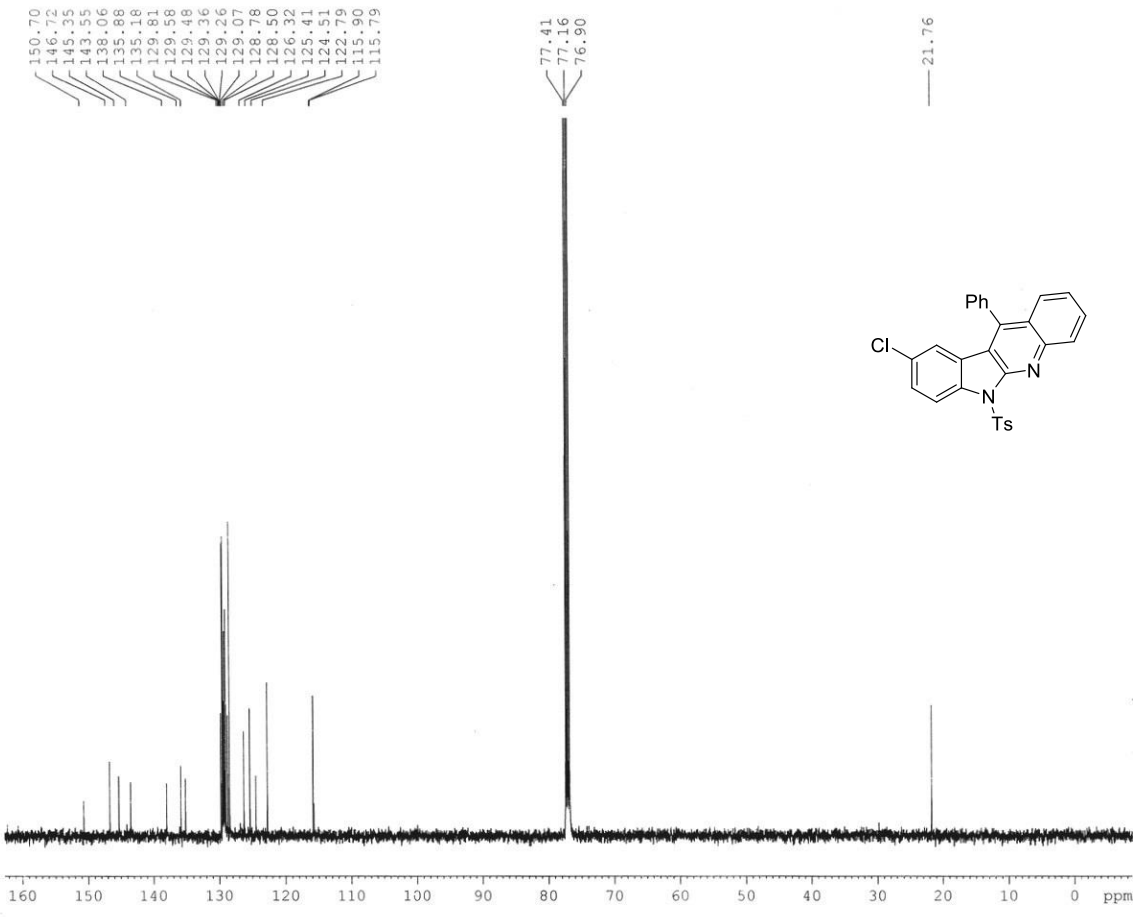
^{13}C NMR spectrum of compound **3b**, $CDCl_3$, 75 MHz



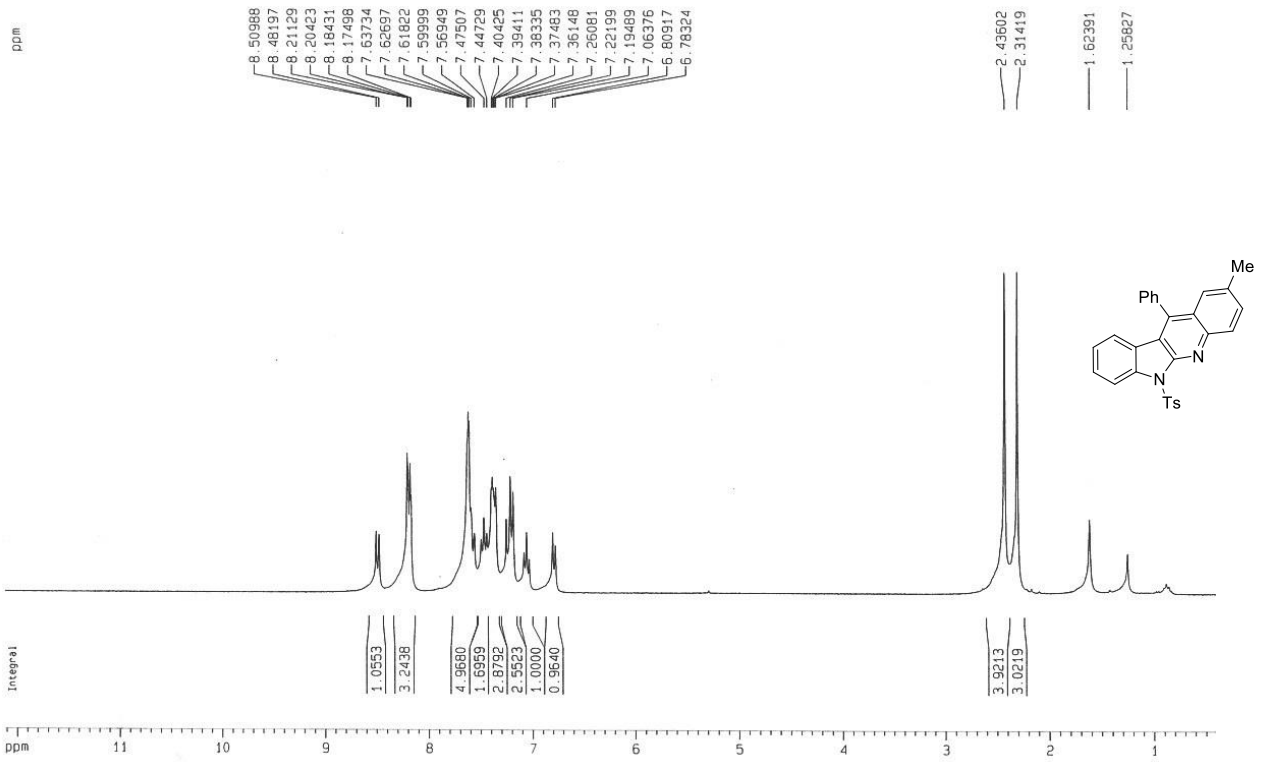
^{1}H NMR spectrum of compound **3c**, $CDCl_3$, 500 MHz



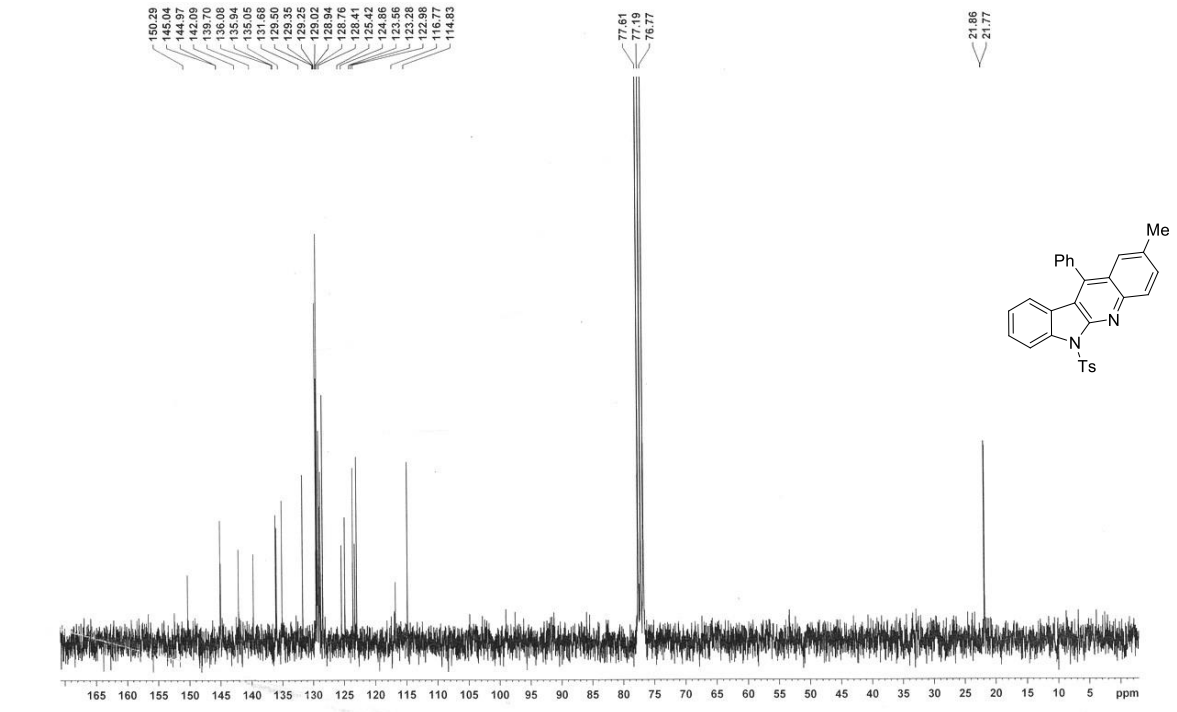
^{13}C NMR spectrum of compound **3c**, $CDCl_3$, 125 MHz



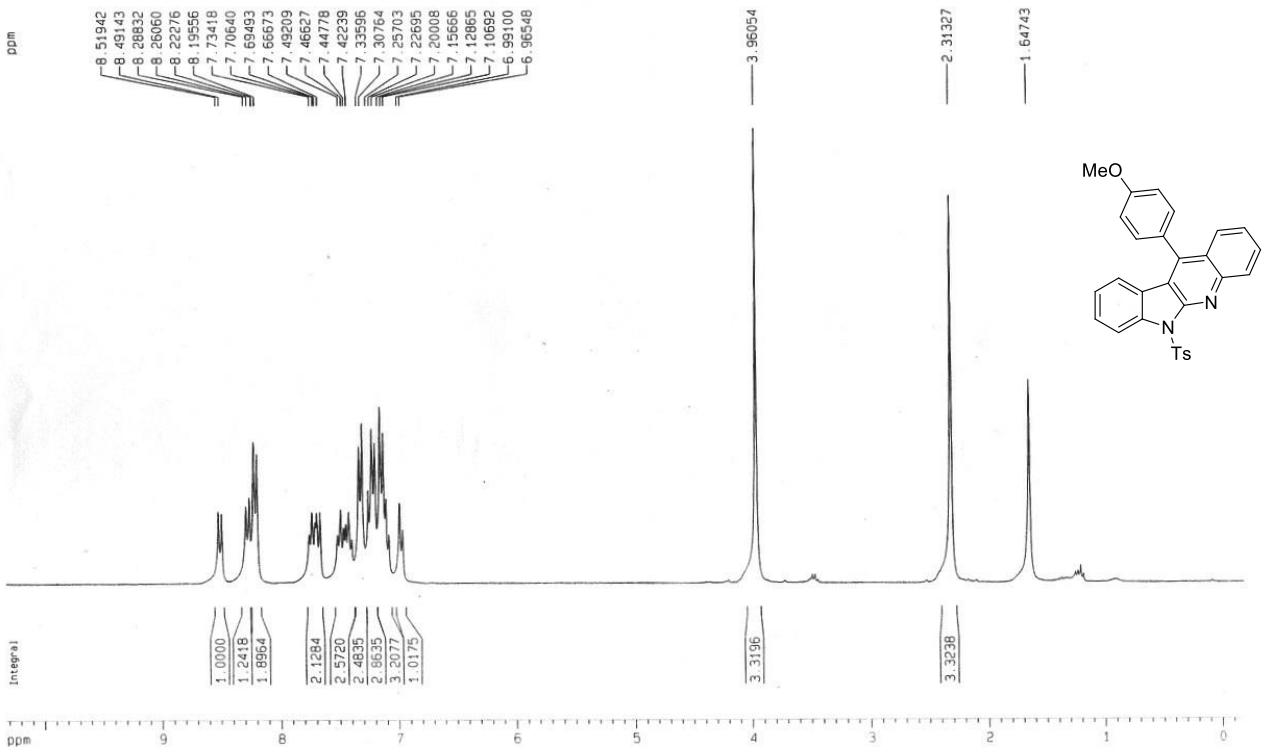
^{1}H NMR spectrum of compound **3d**, $CDCl_3$, 400 MHz



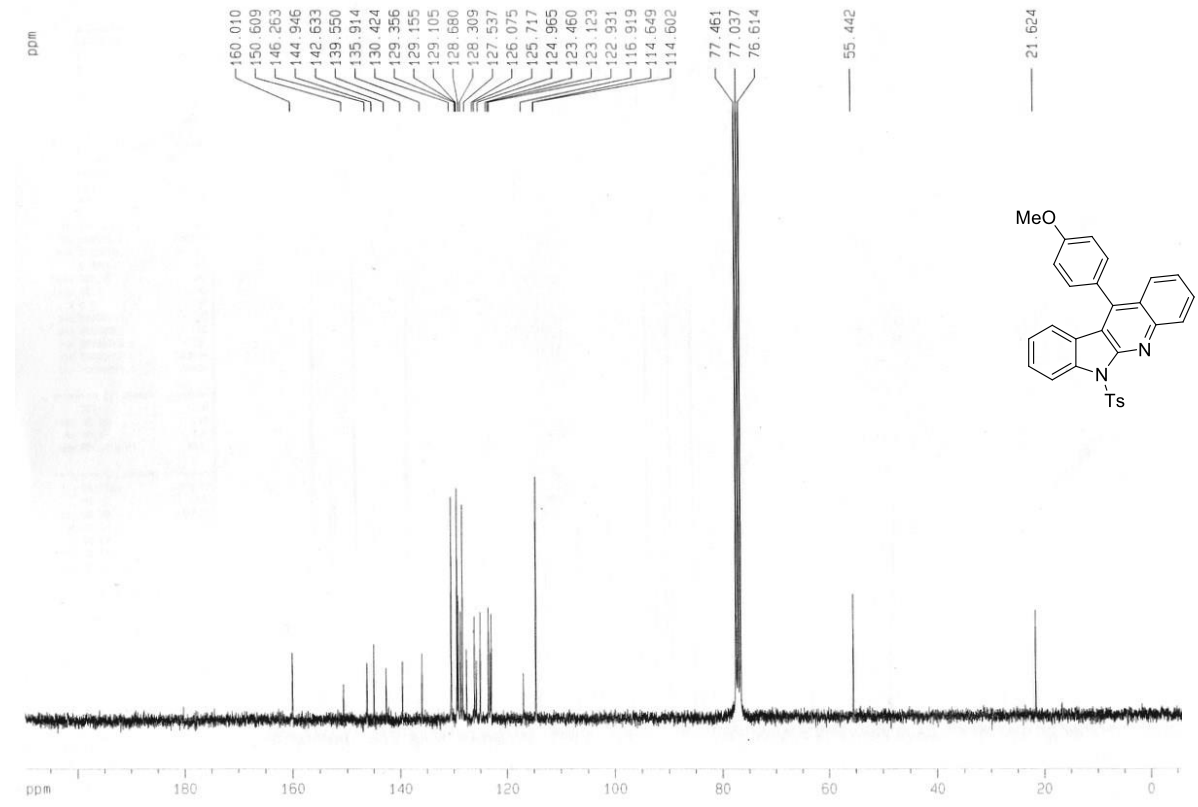
^{13}C NMR spectrum of compound **3d**, $CDCl_3$, 100 MHz



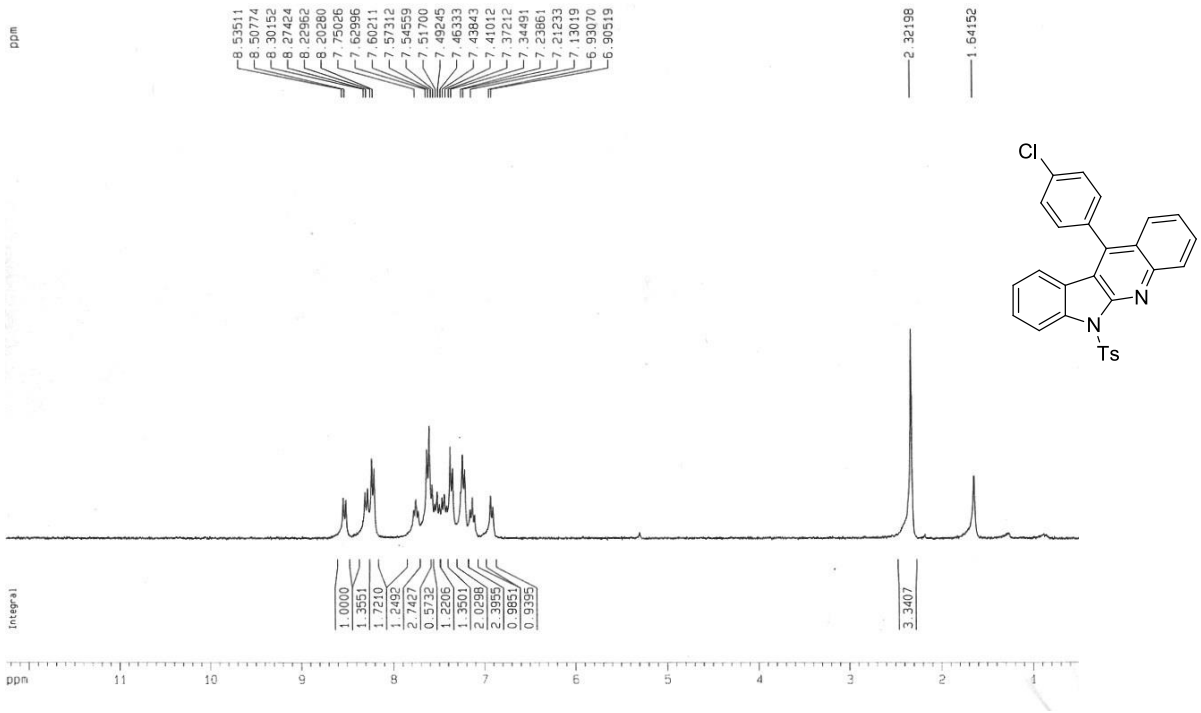
^{1}H NMR spectrum of compound **3e**, $CDCl_3$, 300 MHz



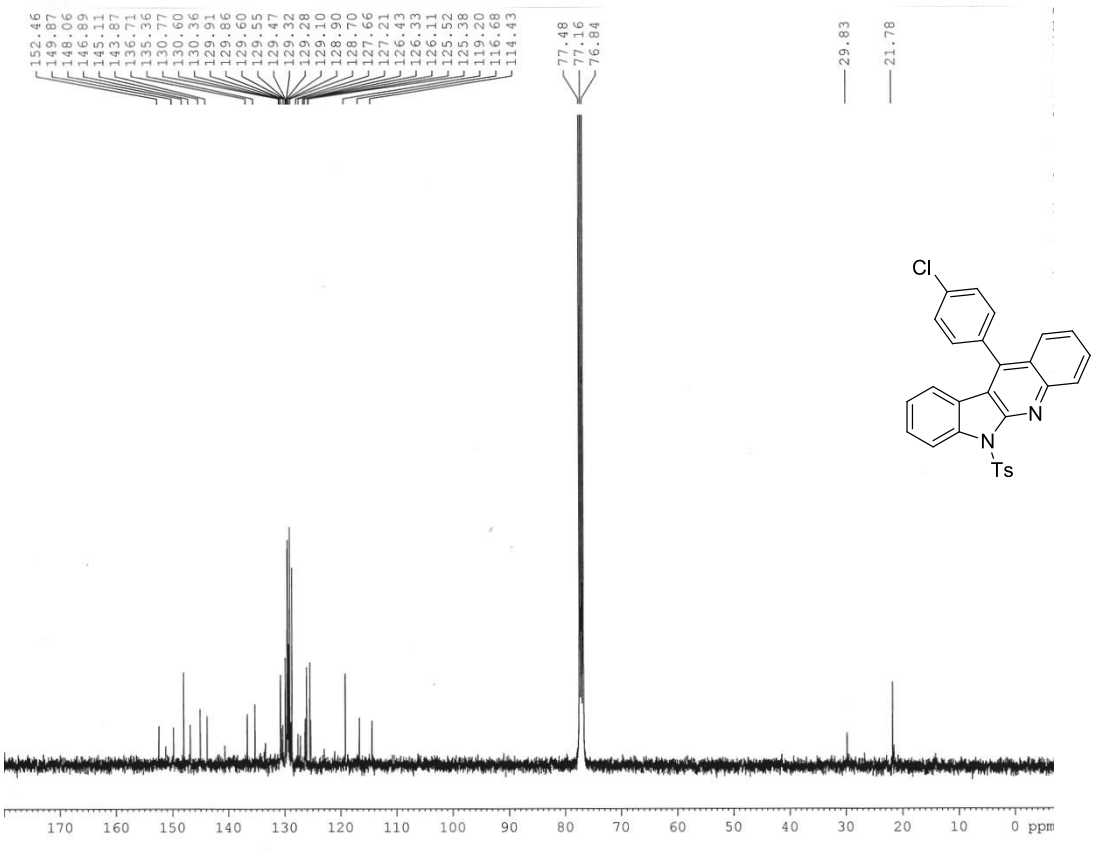
^{13}C NMR spectrum of compound **3e**, $CDCl_3$, 75 MHz



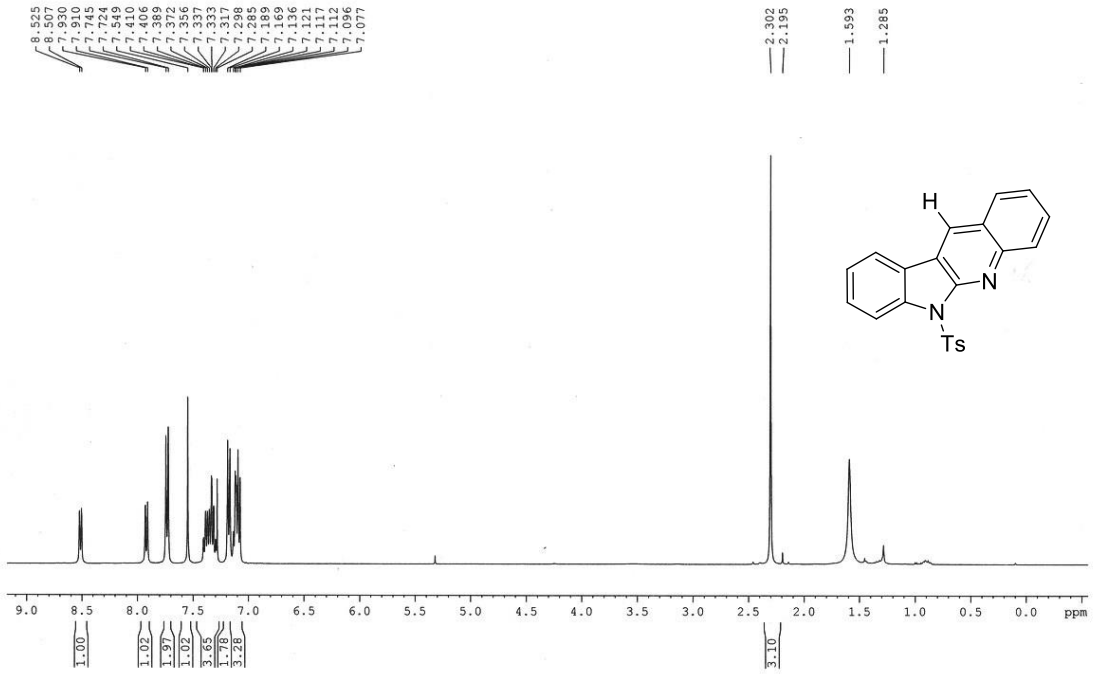
^{1}H NMR spectrum of compound **3f**, $CDCl_3$, 300 MHz



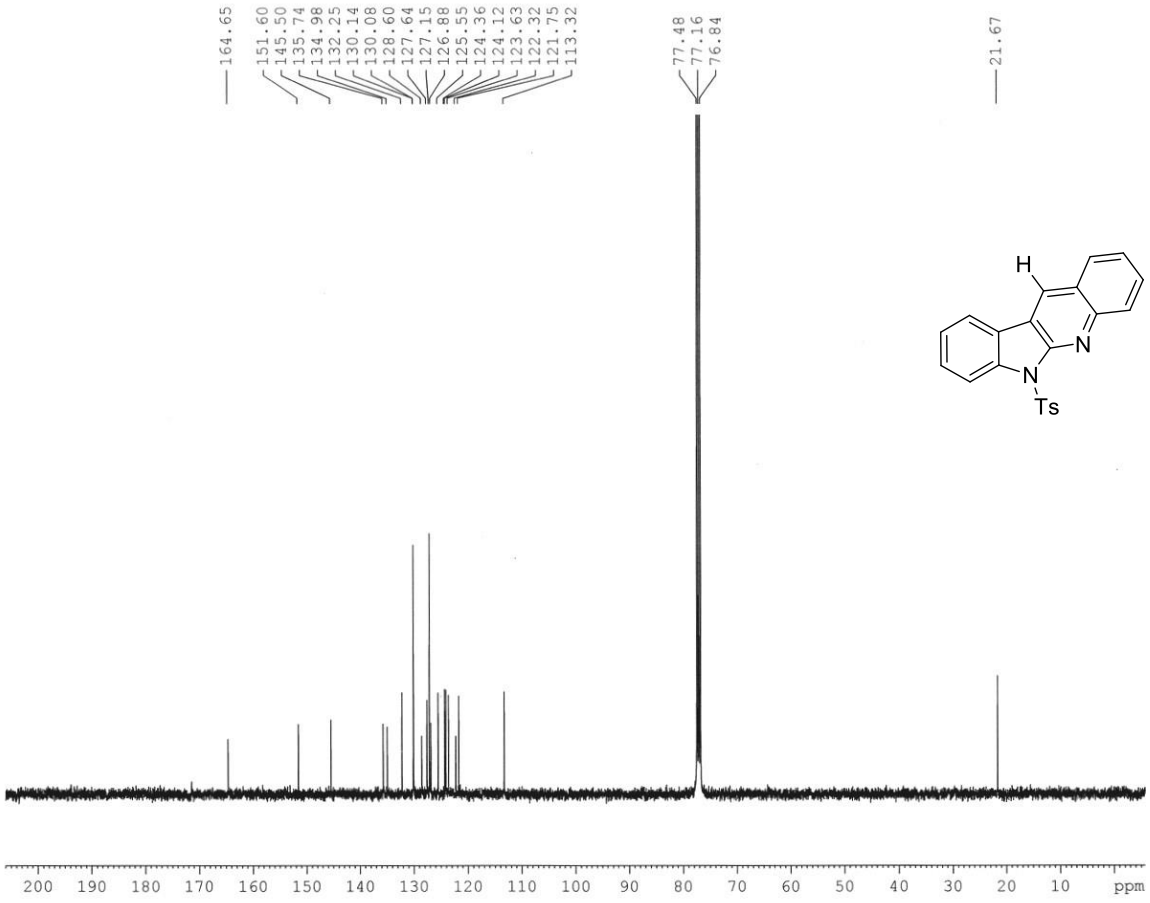
^{13}C NMR spectrum of compound **3f**, $CDCl_3$, 100 MHz



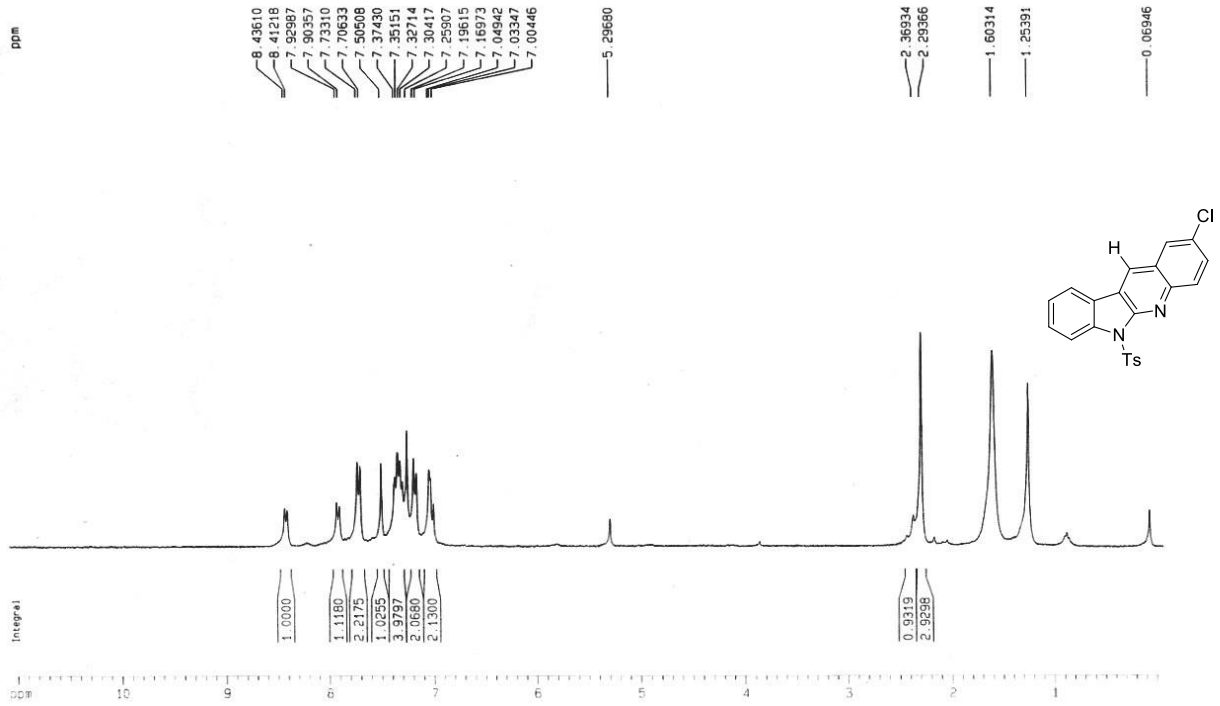
^{1}H NMR spectrum of compound **3g**, $CDCl_3$, 300 MHz



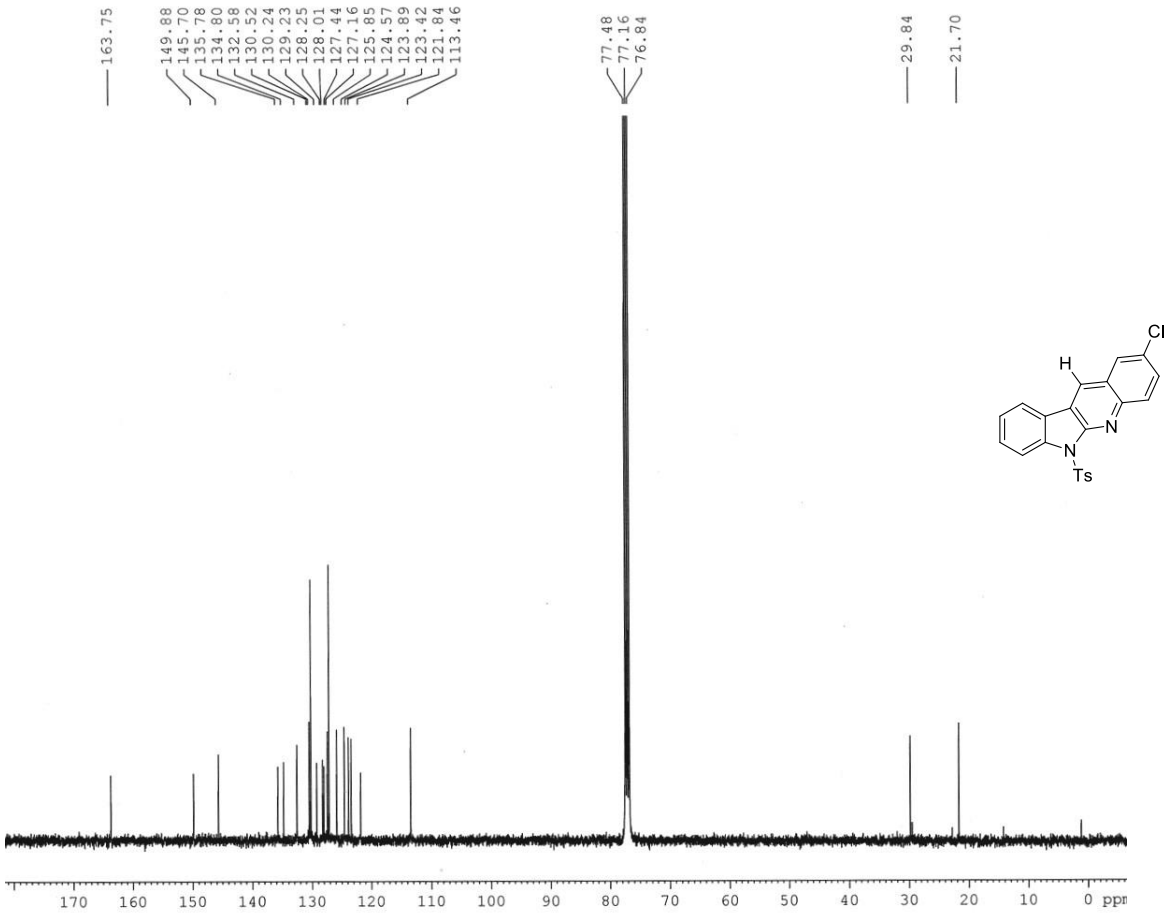
^{13}C NMR spectrum of compound **3g**, $CDCl_3$, 100 MHz



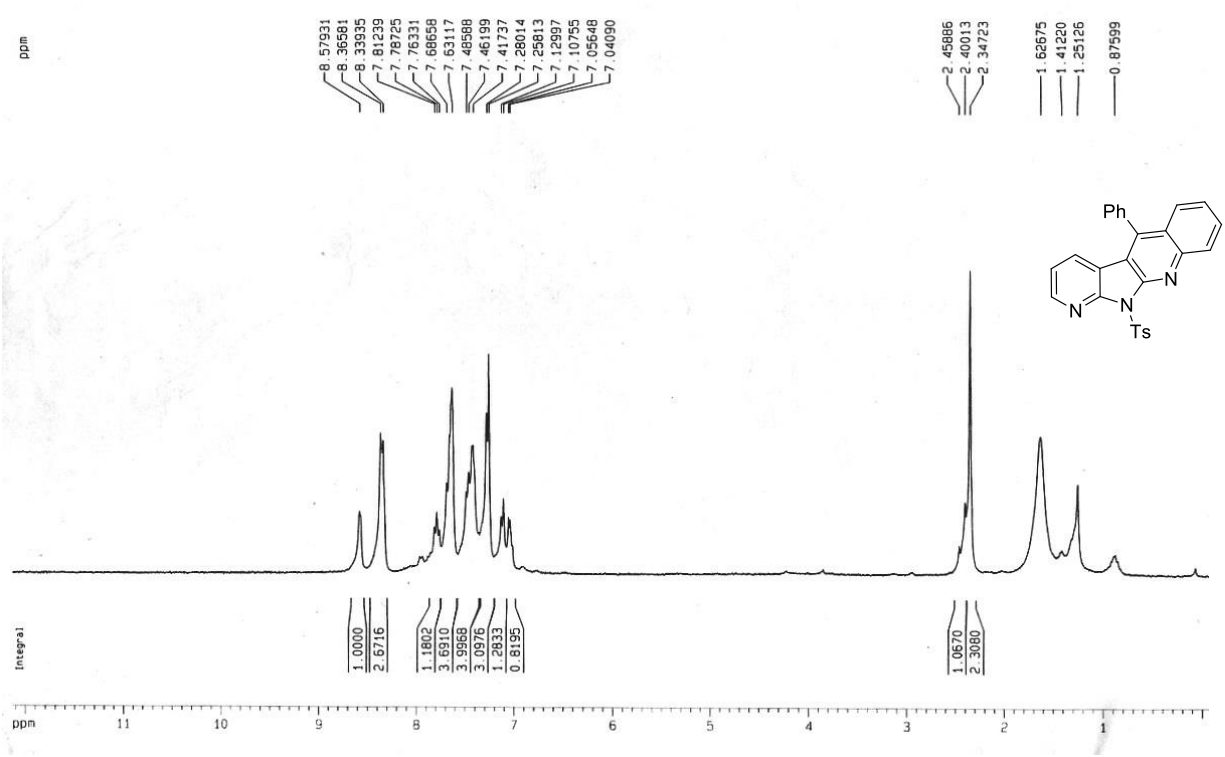
^{1}H NMR spectrum of compound **3h**, $CDCl_3$, 300 MHz



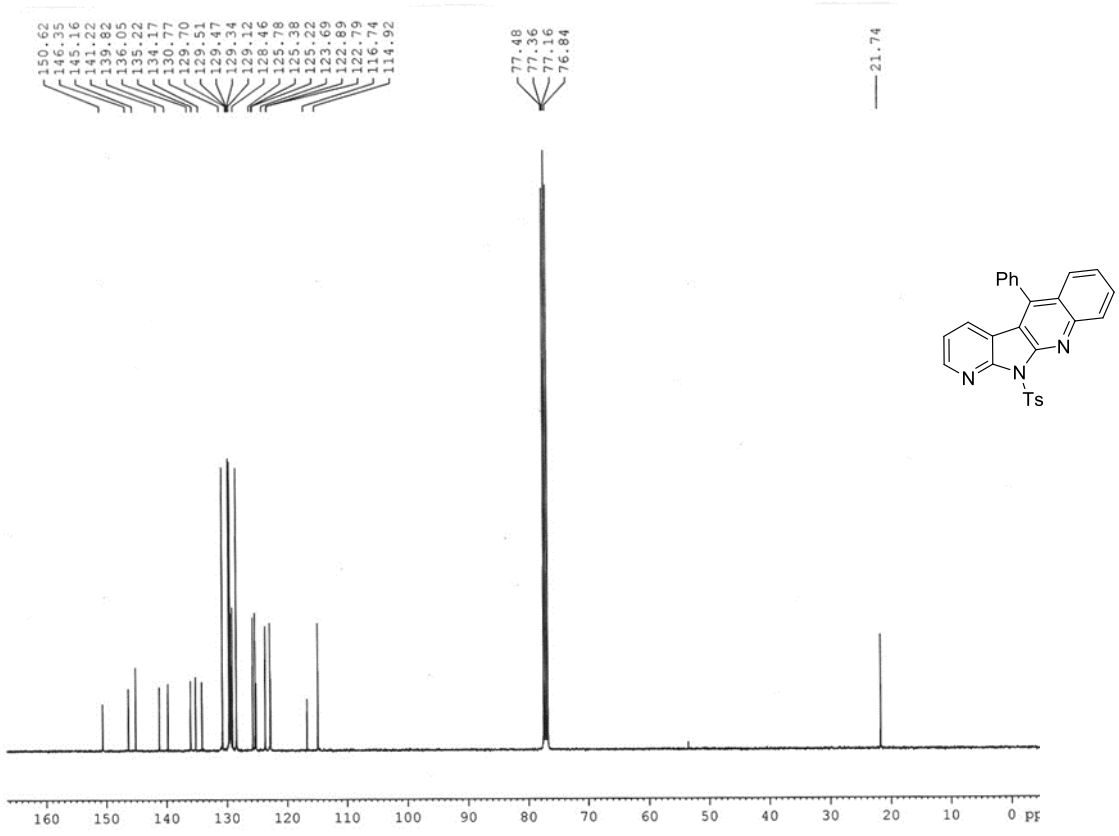
^{13}C NMR spectrum of compound **3h**, $CDCl_3$, 100 MHz



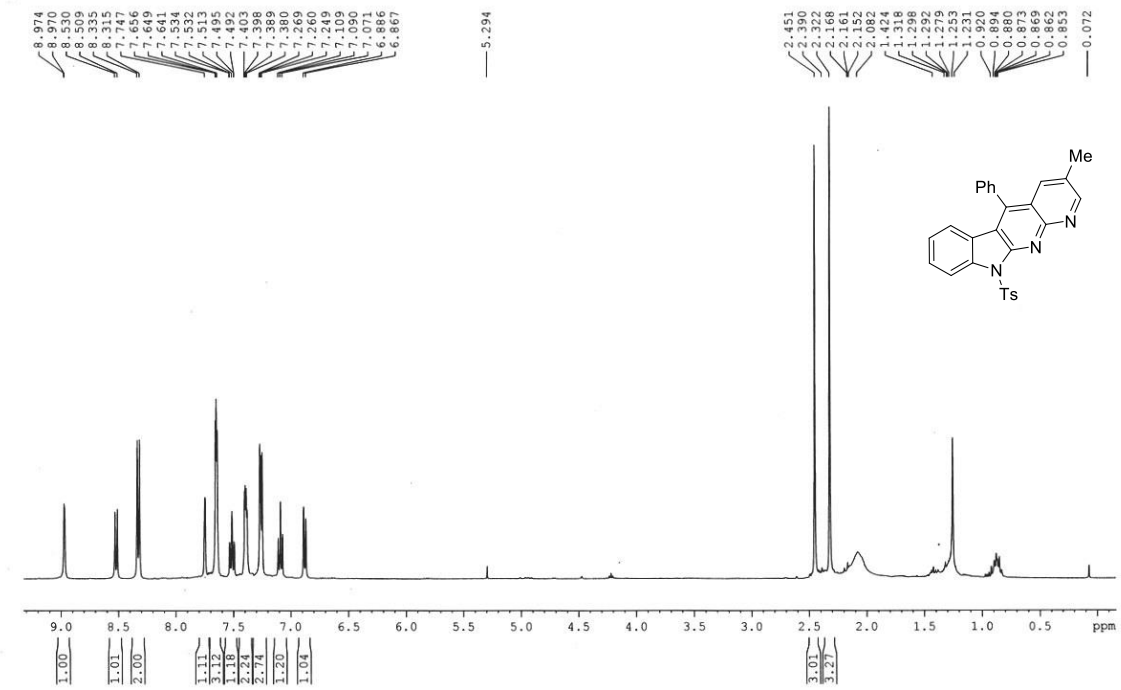
^{1}H NMR spectrum of compound **3i**, $CDCl_3$, 300 MHz



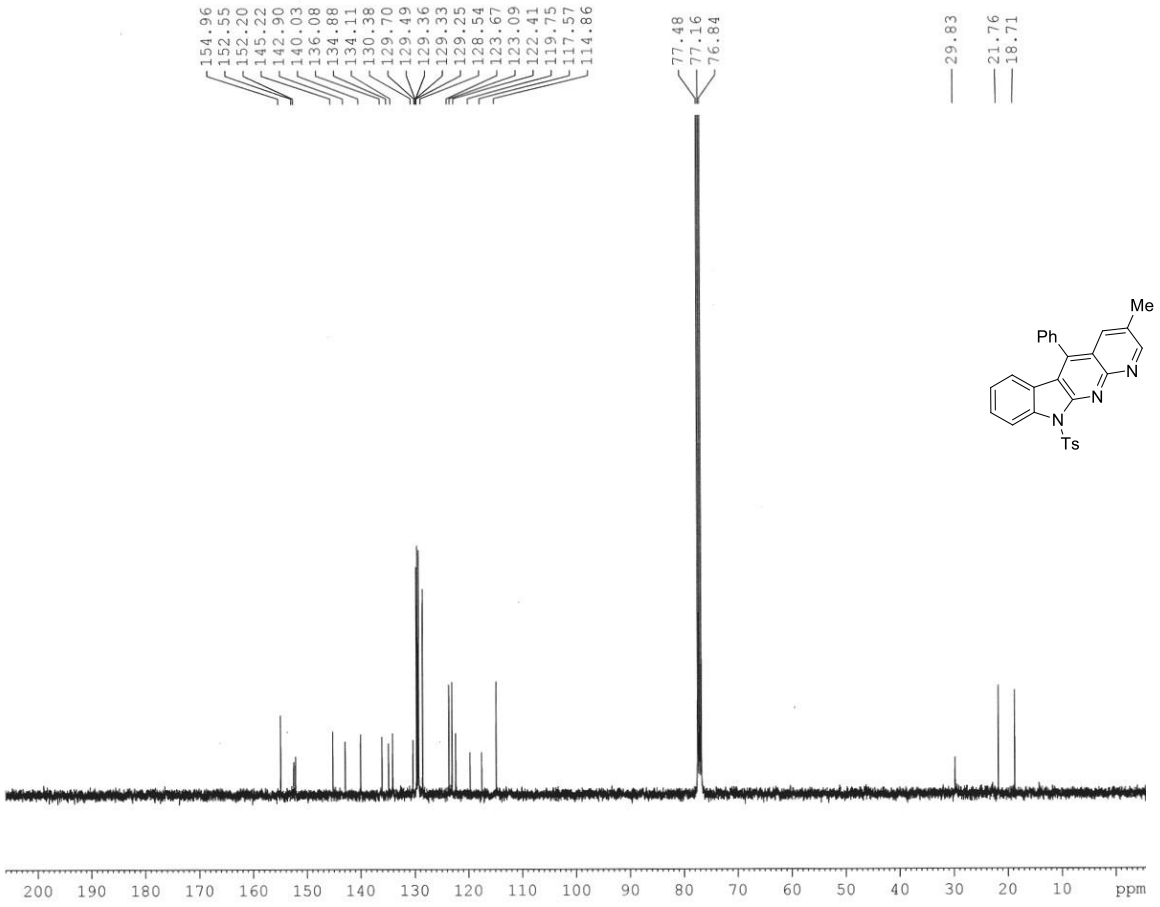
^{13}C NMR spectrum of compound **3i**, $CDCl_3$, 100 MHz



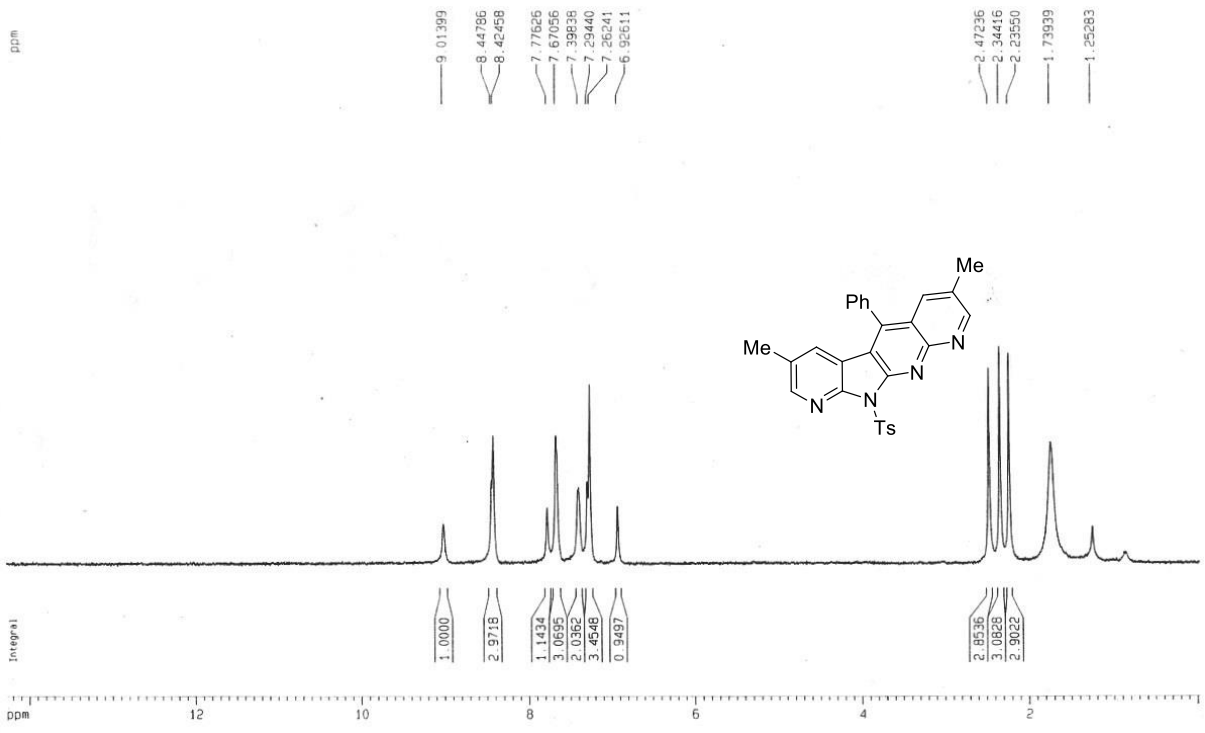
^{1}H NMR spectrum of compound **3j**, $CDCl_3$, 400 MHz



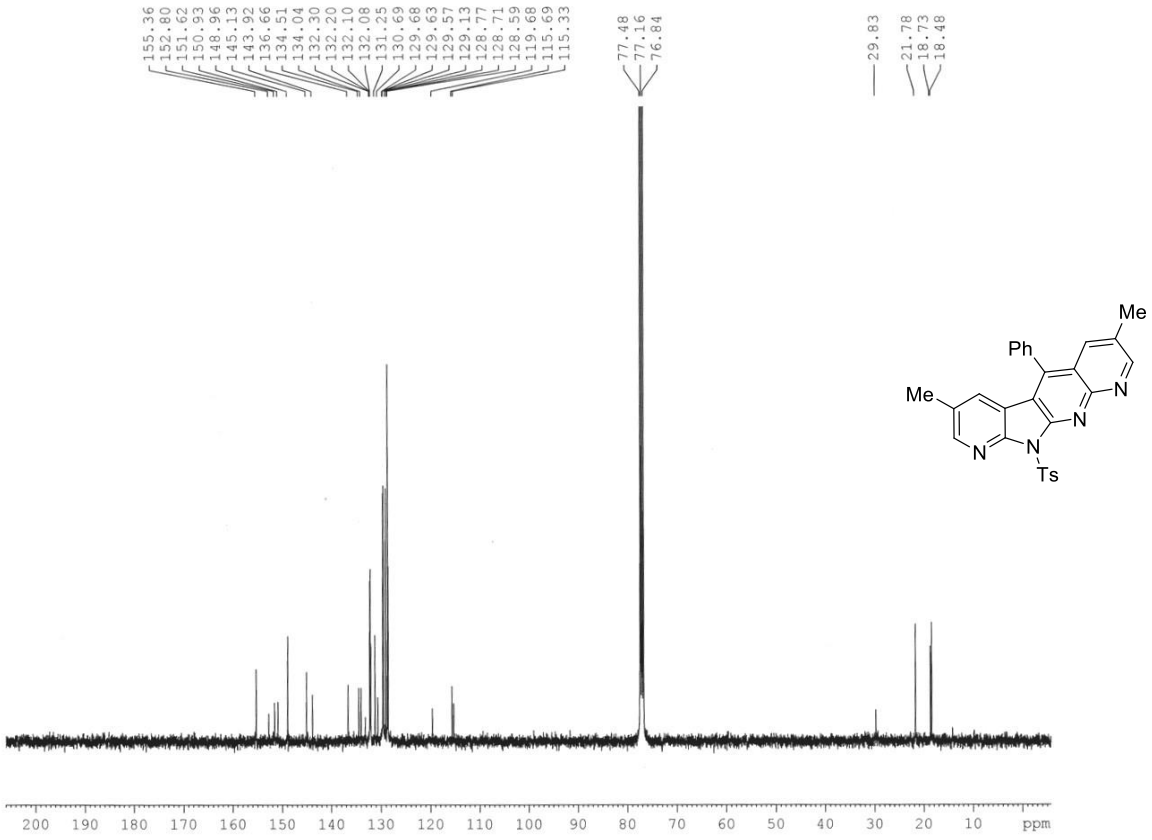
^{13}C NMR spectrum of compound **3j**, $CDCl_3$, 100 MHz



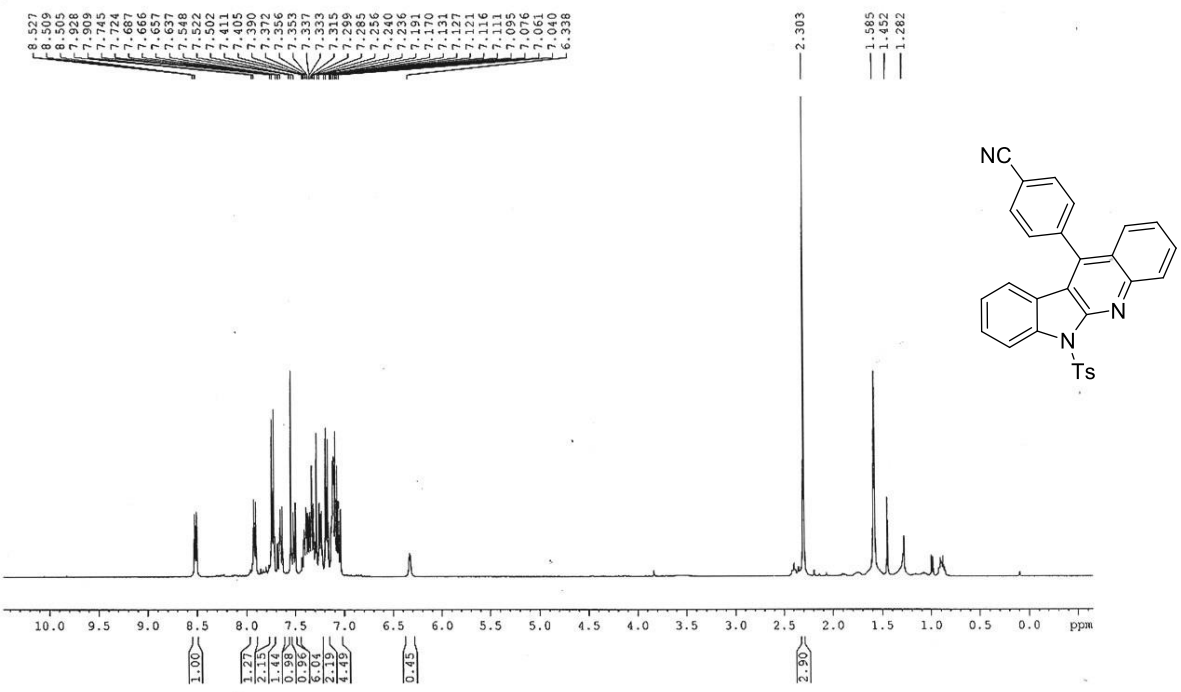
^{1}H NMR spectrum of compound **3k**, $CDCl_3$, 300 MHz



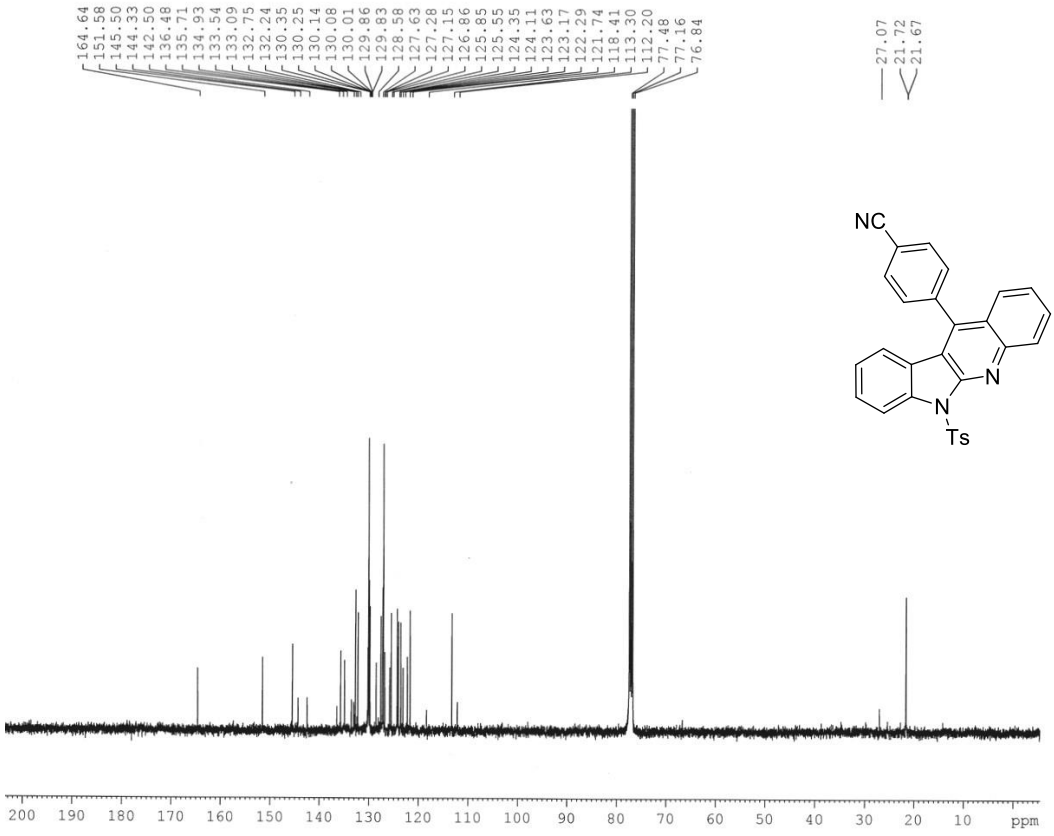
^{13}C NMR spectrum of compound **3k**, $CDCl_3$, 100 MHz



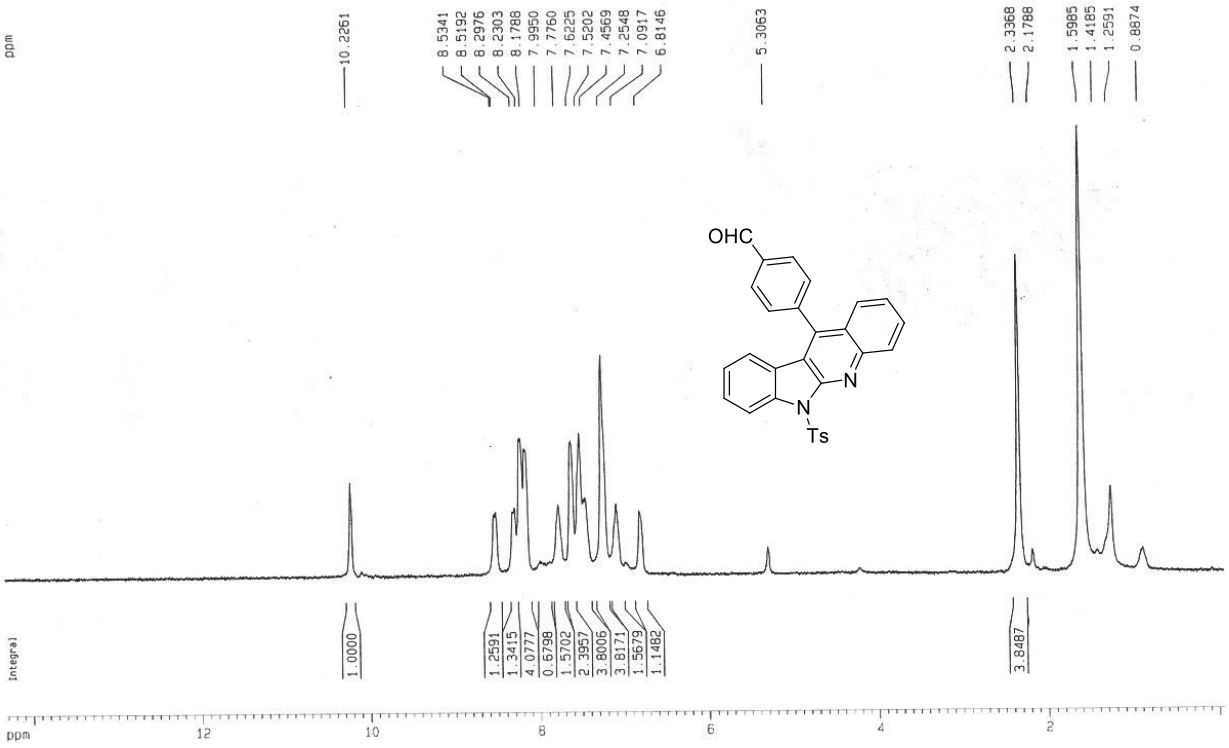
^{1}H NMR spectrum of compound **3l**, $CDCl_3$, 400 MHz



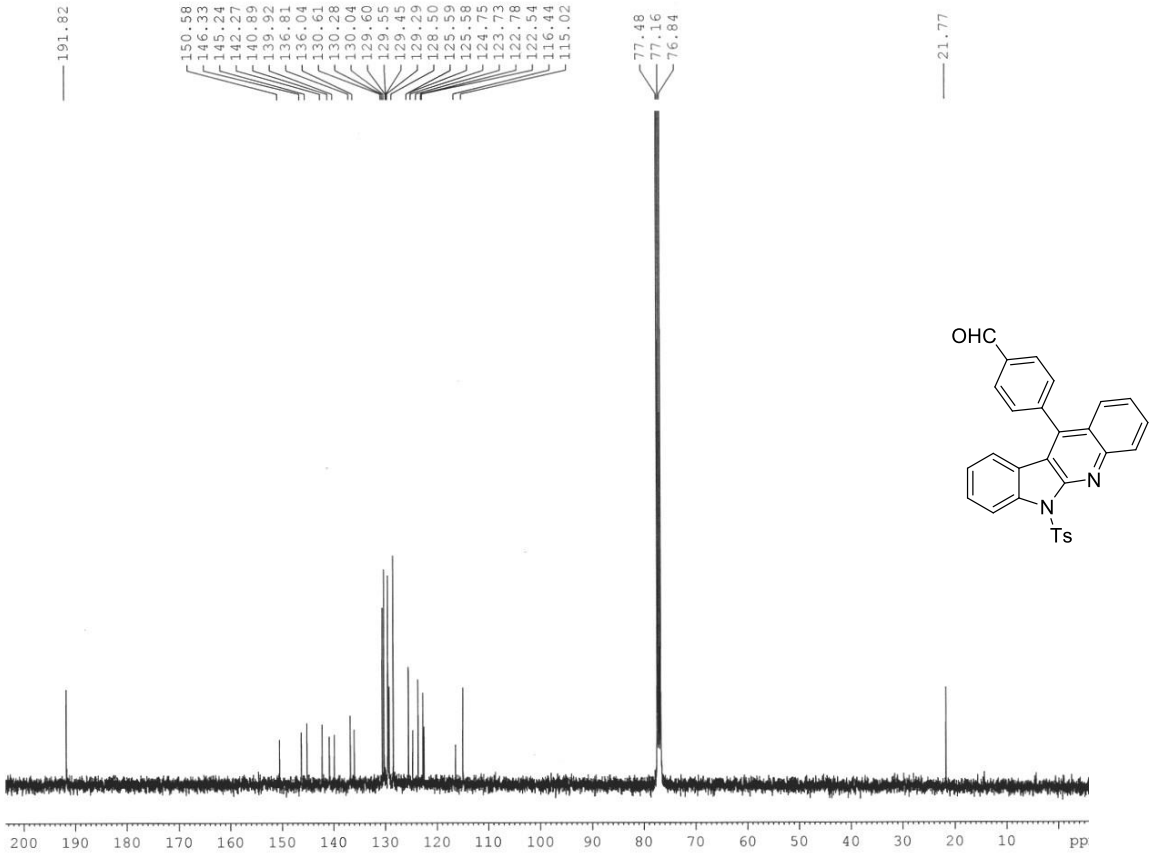
^{13}C NMR spectrum of compound **3l**, $CDCl_3$, 100 MHz



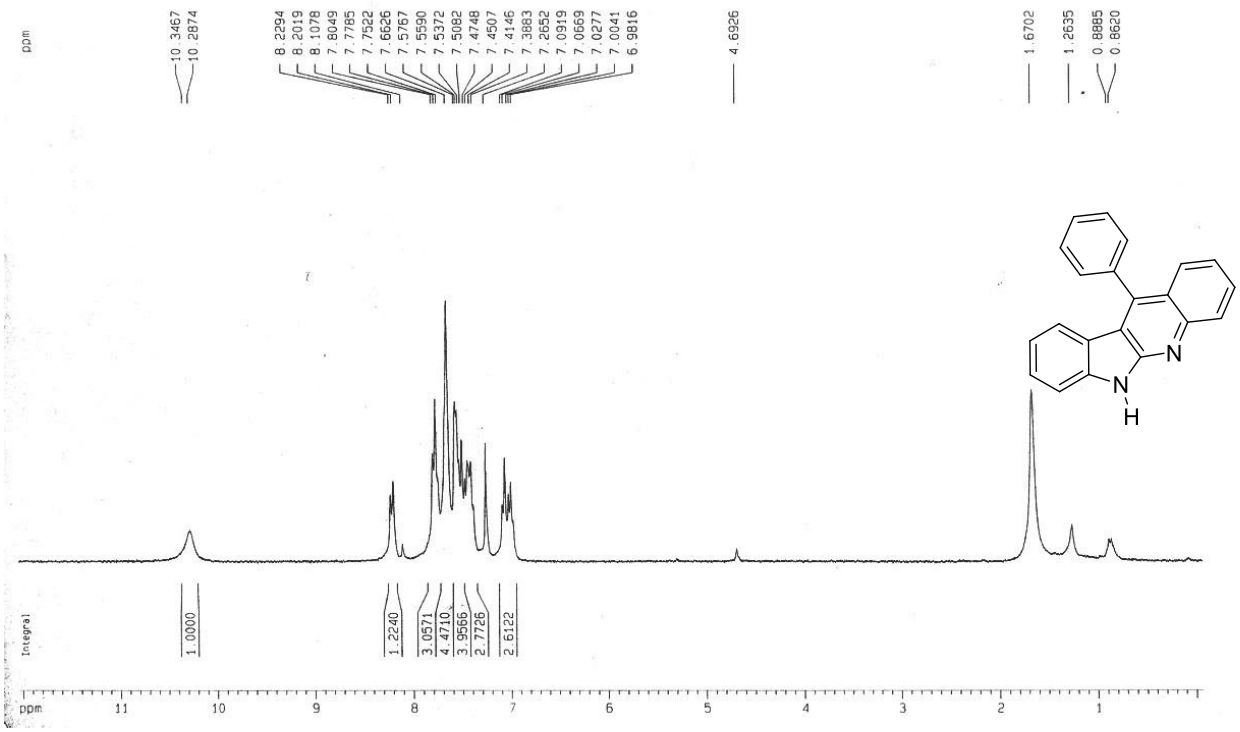
^{1}H NMR spectrum of compound **3m**, $CDCl_3$, 300 MHz



^{13}C NMR spectrum of compound **3m**, $CDCl_3$, 100 MHz



^{1}H NMR spectrum of compound **4**, $CDCl_3$, 300 MHz



^{13}C NMR spectrum of compound **4**, $CDCl_3$, 100 MHz