Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Iminyl-Radicals by Electrochemical Decarboxylation of *a*-Imino-oxy Acids: Construction of Indole-fused Polycyclics

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1. General Information

Commercial solvents and reagents were used without further purification unless otherwise noted.

Electrolysis reactions were conducted using a Model QJ3005T (32V) DC power supply purchased from Ningbo Jiuyuan Electronic Co., Ltd., China.

Analytical thin layer chromatography (TLC) plates and the silica gel (200 – 300 mesh) for column chromatography were phased from Qingdao Haiyang Chemical and Special Silica Gel Co, Ltd.

Proton nuclear magnetic resonance (^{1}H NMR), carbon nuclear magnetic resonance (^{13}C NMR) and fluorine nuclear magnetic resonance (^{19}F NMR) spectroscopy were performed on Bruker Advance III-400 spectrometers (400 MHz for ^{1}H NMR, 101 MHz for ^{13}C NMR, 377 MHz for ^{19}F NMR) and Bruker AscendTM 500 spectrometers (500 MHz for ^{1}H NMR, 126 MHz for ^{13}C NMR, 471 MHz for ^{19}F NMR). Chemical shifts of ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were reported as in units of parts per million (ppm) downfield from TMS (δ 0.0 ppm) and relative to the signal of $CDCl_3$ (δ 7.26 ppm for ^{1}H NMR and δ 77.2 ppm for ^{13}C NMR) and DMSO-d_6 (δ 2.50 ppm for ^{1}H NMR and δ 39.5 ppm for ^{13}C NMR). Multiplicities were given as: s (singlet); br s (broad singlet); d (doublet); t (triplet); q (quartet); m (multiplets), etc. The number of protons (n) for a given resonance was indicated by nH.

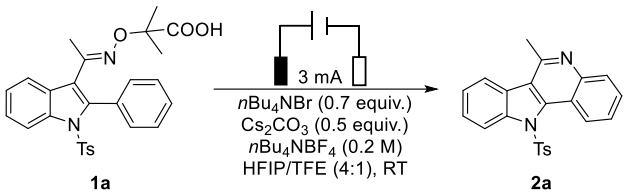
Infrared spectra (IR) were recorded on a Brucker TENSOR 27 FTIR spectrophotometer and are reported as wavelength numbers (cm^{-1}). Infrared spectra were recorded by preparing a KBr pellet containing the title compounds.

HR-MS was carried out on a high-resolution mass spectrometer (LCMS-IT-TOF and LCMS-Q Exactive).

Cyclic voltammetry (CV) analysis was performed on Ingsens IGS-1030 electrochemical workstation (Ingsens Instruments (Guangzhou) Co., Ltd., China) with a conventional three electrode cell, using a glassy carbon electrode (GCE) (d = 3 mm) as working electrode, a Pt wire as counter electrode and saturated calomel electrode (SCE) as a reference electrode. Cyclic voltammograms were recorded at 100 mV/s scan rate.

2. Optimization of Reaction Conditions.

Table 1. Optimization of reaction conditions[a]

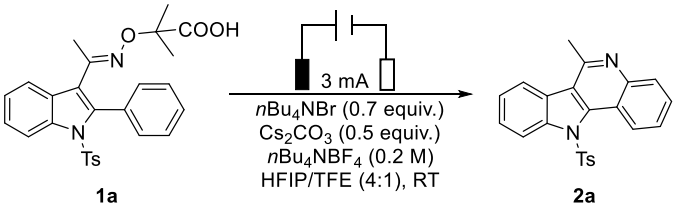


1a 2a

entry	Deviation from standard conditions	Yield (%)[b]
1	None	82
2	HFIP as solvent	58
3	TFE as solvent	36
4	HFIP/TFE (1:1) as solvent	59
5	HFIP/TFE (2:3) as solvent	47
6	HFIP/MeOH (4:1) as solvent	64
7	HFIP/MeCN (4:1) as solvent	39
8	No nBu$_4$NBr	41
9	nBu$_4$NBr (0.5 equiv.)	58
10	nBu$_4$NBr (1.0 equiv.)	71
11	0.2M nBu$_4$NBr without n-Bu$_4$NBF$_4$	63
12	K_2CO_3 instead of Cs_2CO_3	64
13	Na_2CO_3 instead of Cs_2CO_3	69
14	Graphite rod as anode	25
15	Pt plate as anode	18
16	Without current	n.d.

[a]Reaction conditions: undivided cell, RVC anode, Pt cathode, **1a** (0.25 mmol), nBu$_4$NBr (0.7 equiv.), nBu$_4$NBF$_4$ (0.2 M), Cs_2CO_3 (0.5 equiv.), HFIP (4 mL), TFE (1 mL), I = 3 mA, 6.5 h (2.9 Fmol^{-1}), RT. [b]Yield determined by ^{1}H-NMR analysis using nitromethane as the internal standard.

Table S2. Screening of mediator.[a]

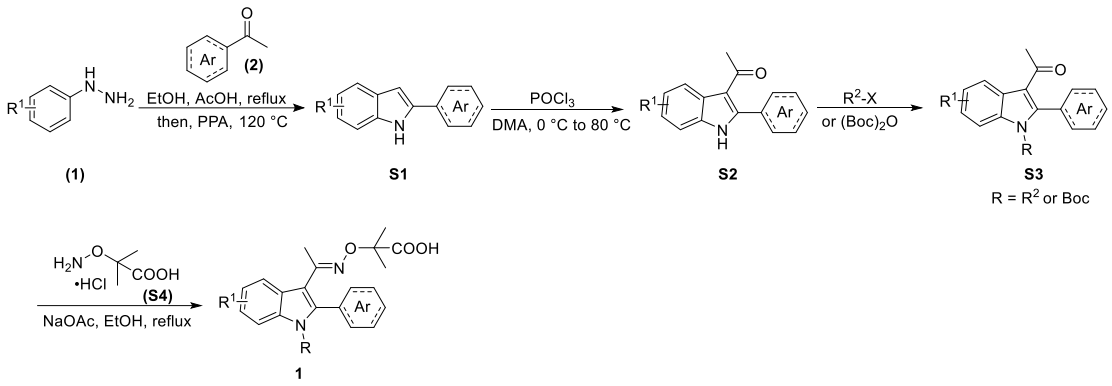


1a 2a

entry	Deviation from standard conditions	Yield (%)[b]
1	None	82
2	NaBr instead of nBu$_4$NBr	54
3	KBr instead of nBu$_4$NBr	59
4	nBu$_4$NI instead of nBu$_4$NBr	8
5	NaI instead of nBu$_4$NBr	41
6	KI instead of nBu$_4$NBr	47
7	TEMPO instead of nBu$_4$NBr	0
8	Cp_2Fe instead of nBu$_4$NBr	0

[a]standard conditions: undivided cell, RVC anode (100 PPI, 1.0 cm x 1.0 cm x 0.5 cm), Pt cathode (1 cm x 1.5 cm), substrate (0.25 mmol), nBu$_4$NBr (0.7 equiv.), nBu$_4$NBF$_4$ (0.2 M), Cs_2CO_3 (0.5 equiv.), HFIP (4 mL), TFE (1 mL), I = 3 mA, 6.5 h (2.9 Fmol^{-1}), RT. [b]Yield determined by ^{1}H-NMR analysis using nitromethane as the internal standard.

3. Synthesis and Characterization of Starting Substrates



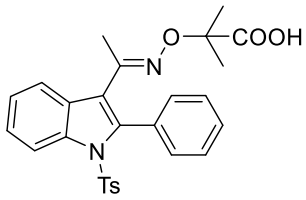
The various 2-phenyl-1H-indoles **S1** were prepared according to reported method[1] : Appropriate amounts of substituted acetophenone **2** and phenyl hydrazine **1** (1 equiv.) were mixed in ethanol, and a few drops of glacial acetic acid was added. The solution was heated under reflux at 80 °C for 1–2 h. The solvent was evaporated in vacuum to give a solid that was added to polyphosphoric acid, and the mixture was slowly heated to 120 °C and kept at this temperature for a few hours until the reaction was complete (TLC monitoring). The mixture was allowed to cool and then poured into cold water. The acidic solution was neutralized by the slow addition of NaOH (1 M), and the solid precipitate of the crude product was collected. Purification by column chromatography gave the intermediates **S1**.

1-(2-aryl-1H-indol-3-yl)ethan-1-ones **S2** were prepared according to reported method[2]: *N,N*-Dimethyl acetamide (DMA,10 equiv.) was cooled to 0 °C. Then, $POCl_3$ (5 equiv.) and the intermediates **S1** (1 equiv.) in DMA were added via syringe. The reaction mixture was heated to 80 °C for 2 h. The cooled solution was then poured onto water and washed with ethyl acetate. The aqueous phase was treated with NaOH (2 M) to precipitate the product. The solids were isolated through vacuum filtration. The redidual solvent and moisture in the solid were furter removed under reduced pr-

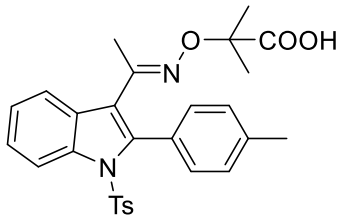
essure to give the intermediates **S2**.

The different *N*-protected 1-(2-phenyl-1H-indol-3-yl)ethan-1-ones **S3** were prepared according to reported method;[4-6] and 2-(aminooxy)-2-methylpropanoic acid hydrochloride **S4** were prepared according to previous report.[7]

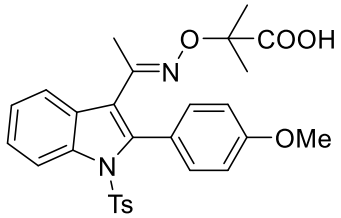
The starting substrates **1a-1p**, **1s** and **1ya-1yc** were synthesized according to the following procedures: [8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$ and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel to give desired products **1a-1p**, **1s** and **1ya-1yc**.



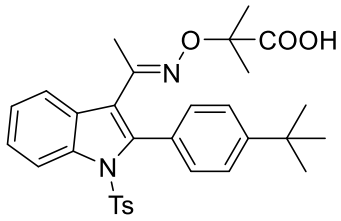
(E)-2-methyl-2-(((1-(2-phenyl-1-tosyl-1H-indol-3-l)ethylidene)amino)oxy)propanoic acid (1a): Yield = 75% (**S3-1a**); White solid; Only one set of peaks was observed in the ^1H and ^{13}C NMR: ^1H NMR (500 MHz, DMSO-d_6) δ 12.63 (s, 1H), 8.23 (d, J = 8.3 Hz, 1H), 7.79 (d, J = 7.8 Hz, 1H), 7.55 – 7.45 (m, 4H), 7.40 – 7.30 (m, 7H), 2.29 (s, 3H), 1.52 (s, 3H), 1.41 (s, 6H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 175.4, 150.9, 146.0, 139.2, 136.2, 134.8, 131.9, 131.2, 130.5, 129.8, 128.6, 128.1, 127.0, 126.0, 124.9, 121.9, 120.7, 115.6, 81.3, 24.4, 21.5, 15.2.



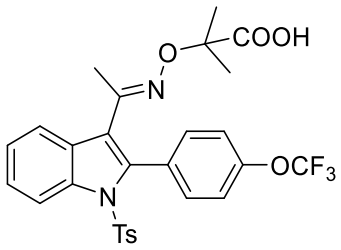
(*E*)-2-methyl-2-(((1-(2-(p-tolyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoicacid (1b): Yield = 81% (**S3-1b**); White solid; Only one set of peaks was observed in the ^1H and ^{13}C NMR: ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, J = 8.4 Hz, 1H), 7.75 (d, J = 7.0 Hz, 1H), 7.34 – 7.23 (m, 8H), 7.06 (d, J = 8.1 Hz, 2H), 2.46 (s, 3H), 2.31 (s, 3H), 1.70 (s, 3H), 1.57 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.2, 153.8, 144.9, 139.5, 139.4, 136.6, 135.5, 131.8, 129.5, 129.1, 128.4, 128.3, 127.9, 127.0, 125.3, 124.4, 121.0, 120.0, 115.6, 81.4, 24.2, 21.5, 15.5.



2-(((1-(2-(4-methoxyphenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1c): Yield = 77% (**S3-1c**); White solid; *E*:*Z* = 6.5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 – 8.29 (m, 1H), 7.74 – 7.72 (m, 1H), 7.28 – 7.21 (m, 6H), 6.99 (d, *J* = 8.2 Hz, 2H), 6.91 (d, *J* = 8.7 Hz, 2H), 3.86 (s, 2.6H, *E*-isomer), 3.84 (s, 0.4H, *Z*-isomer), 2.25 (s, 2.6H, *E*-isomer), 2.23 (s, 0.4H, *Z*- isomers), 1.66 (s, 3H), 1.55 (s, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.0, 178.8, 160.5, 160.4, 153.5, 151.5, 144.8, 144.5, 139.2, 137.6, 137.5, 136.6, 135.5, 134.5, 133.3, 129.4, 129.1, 129.0, 128.4, 126.9, 126.8, 125.3, 125.0, 124.5, 124.4, 123.0, 122.9, 121.0, 120.30, 120.26, 120.1, 117.0, 115.6, 113.2, 113.0, 81.3, 80.8, 55.32, 55.25, 24.2, 23.9, 21.53, 21.45, 19.9, 15.5.

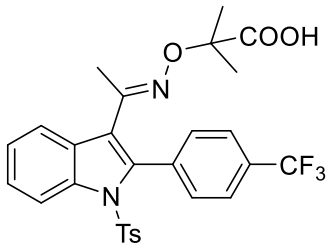


2-(((1-(2-(4-(tert-butyl)phenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1d): Yield = 79% (**S3-1d**); White solid; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.58 (s, 1H), 8.22 – 8.12 (m, 1H), 7.75 (d, *J* = 7.9 Hz, 1H), 7.48 – 7.46 (m, 2H), 7.42 (d, *J* = 8.3 Hz, 1H), 7.39 – 7.36 (m, 2H), 7.33 (d, *J* = 7.6 Hz, 1H), 7.29 – 7.21 (m, 4H), 2.30 (s, 2.5H, *E*-isomer), 2.25 (s, 0.5H, *Z*-isomer), 1.60 (s, 0.5H, *Z*-isomer), 1.52 (s, 2.5H, *E*-isomer), 1.38 (s, 5H, *E*-isomer), 1.36 (s, 9H), 1.12 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.0, 153.4, 152.5, 152.4, 144.7, 144.5, 139.3, 137.9, 137.5, 136.7, 135.6, 134.5, 131.7, 130.4, 129.4, 129.1, 128.3, 127.7, 127.1, 126.8, 125.2, 125.1, 124.6, 124.4, 124.3, 121.0, 120.3, 120.0, 116.9, 115.5, 81.3, 80.9, 34.8, 31.4, 24.2, 23.9, 21.54, 21.47, 20.0, 15.5.

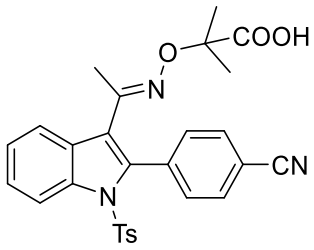


2-methyl-2-(((1-(1-tosyl-2-(4-(trifluoromethoxy)phenyl)-1H-indol-3-yl)ethylidene-e)amino)oxy)propanoic acid (1e): Yield = 80% (**S3-1e**); White solid; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.34 – 8.30 (m, 1H), 7.70 (d, *J* = 7.3 Hz, 1H), 7.32 – 7.19 (m, 8H), 7.02 (d, *J* = 8.1 Hz, 2H), 2.27 (s, 2.5H, *E*-isomer), 2.24 (s, 0.5H, *Z*-isomer), 1.74 (s, 2.5H, *E*-isomer), 1.68 (s, 0.5H, *Z*-isomer), 1.50 (s, 5H, *E*-isomer), 1.31 (s, 1H, *Z*-isomer); ^{19}F NMR (471 MHz, $CDCl_3$) δ -57.6, -

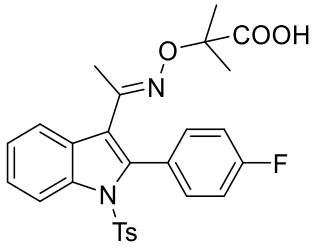
57.7.



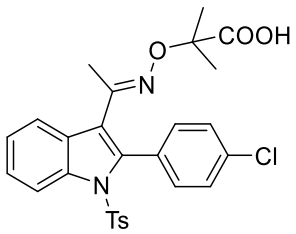
2-methyl-2-(((1-(1-tosyl-2-(4-(trifluoromethyl)phenyl)-1H-indol-3-yl)ethylidene-e)amino)oxy)propanoic acid (1f): Yield = 71% (**S3-1f**); White solid; *E*:*Z* = 14:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.32 (d, *J* = 8.2 Hz, 1H), 7.69 (d, *J* = 7.4 Hz, 1H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.25 (m, 4H), 7.03 (d, *J* = 8.2 Hz, 2H), 2.27 (s, 2.8H, *E*-isomer), 2.24 (s, 0.2H, *Z*-isomer), 1.72 (s, 2.8H, *E*-isomer), 1.64 (s, 0.2H, *Z*-isomer), 1.49 (s, 6H); ^{19}F NMR (471 MHz, CDCl3) δ -62.6, -62.6.



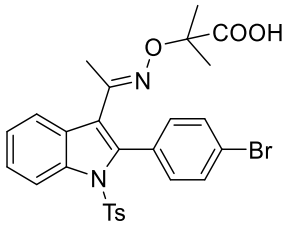
2-(((1-(2-(4-cyanophenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1g): Yield = 68% (**S3-1g**); yellow solid; *E*:*Z* = 4:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.3 Hz, 1H), 7.69 – 7.67 (m, 3H), 7.47 (d, *J* = 8.1 Hz, 2H), 7.34 – 7.31 (m, 1H), 7.29 – 7.26 (m, 3H), 7.06 (d, *J* = 8.2 Hz, 2H), 2.29 (s, 2.4H, *E*-isomer), 2.24 (s, 0.6H, *Z*-isomer), 1.75 (s, 2.4H, *E*-isomer), 1.66 (s, 0.6H, *Z*-isomer), 1.51 (s, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.4, 151.6, 149.8, 145.4, 145.0, 137.9, 136.8, 136.2, 136.0, 135.5, 135.0, 134.9, 133.9, 132.5, 131.2, 129.7, 129.3, 128.7, 128.4, 126.8, 126.6, 126.1, 125.0, 124.7, 123.0, 121.9, 121.2, 120.5, 118.5, 117.0, 115.7, 112.9, 112.5, 81.4, 80.9, 24.1, 21.6, 21.5, 20.0, 15.9.



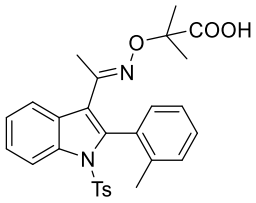
2-(((1-(2-(4-fluorophenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1h): Yield = 61% (**S3-1h**); White solid; *E*:*Z* = 2.8:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 – 8.33 (m, 1H), 7.74 (d, *J* = 7.6 Hz, 0.6H), 7.43 – 7.29 (m, 6H), 7.24 (d, *J* = 8.0 Hz, 0.4H), 7.13 – 7.04 (m, 4H), 2.31 (s, 2.2 H, *E*-isomer), 2.28 (s, 0.8H, *Z*-isomer), 1.74 (s, 2.2 H, *E*-isomer), 1.70 (s, 0.8H, *Z*-isomer), 1.56 (s, 4.4 H, *E*-isomer), 1.31 (s, 1.6 H, *Z*-isomer); ^{19}F NMR (471 MHz, $CDCl_3$) δ -111.1, -111.3.



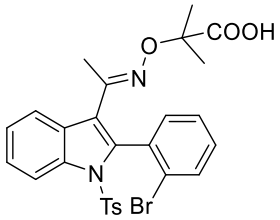
2-(((1-(2-(4-chlorophenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1i): Yield = 66% (**S3-1i**); White solid; *E*:*Z* = 2.7:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 – 8.33 (m, 1H), 7.73 (d, *J* = 7.7 Hz, 1H), 7.41 – 7.26 (m, 8H), 7.10 – 7.04 (m, 2H), 2.32 (s, 2.2 H, *E*-isomer), 2.28 (s, 0.8 H, *Z*-isomer), 1.75 (s, 2.2 H, *E*-isomer), 1.71 (s, 0.8 H, *Z*-isomer), 1.56 (s, 4.4 H, *E*-isomer), 1.31 (s, 1.6 H, *Z*-isomer); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.1, 153.0, 151.1, 145.2, 144.8, 137.60, 137.56, 136.7, 136.1, 135.7, 135.5, 135.3, 133.1, 129.6, 129.4, 129.2, 128.7, 128.2, 126.9, 126.7, 125.7, 125.6, 124.7, 124.5, 121.3, 121.0, 120.7, 120.3, 117.0, 115.6, 81.4, 81.0, 24.2, 23.8, 21.6, 21.5, 20.0, 15.8.



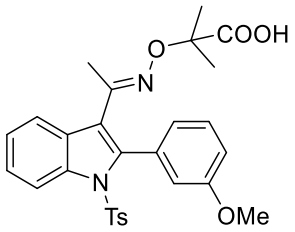
2-(((1-(2-(4-bromophenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1j): Yield = 64% (**S3-1j**); White solid; *E*:*Z* = 2.7:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 – 8.33 (m, 1H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.58 – 7.55 (m, 2H), 7.45 – 7.29 (m, 4H), 7.25 – 7.20 (m, 2H), 7.10 – 7.04 (m, 2H), 2.33 (s, 2.2H, *E*-isomer), 2.28 (s, 0.8H, *Z*-isomer), 1.76 (s, 2.2H, *E*-isomer), 1.71 (s, 0.8H, *Z*-isomer), 1.55 (s, 4.4H, *E*-isomer), 1.29 (s, 1.6H, *Z*-isomer); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.5, 153.1, 151.3, 145.2, 144.8, 137.6, 136.7, 135.3, 133.4, 131.0, 130.8, 129.8, 129.6, 129.2, 128.6, 128.2, 126.9, 126.7, 125.7, 125.6, 124.7, 124.6, 124.0, 123.8, 121.0, 120.6, 120.2, 119.9, 117.0, 115.6, 81.5, 81.0, 24.2, 24.0, 21.6, 21.5, 20.0, 15.9.



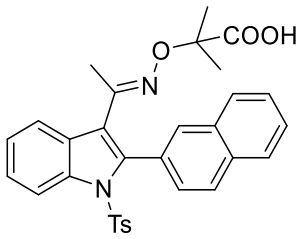
2-methyl-2-(((1-(2-(o-tolyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1k): Yield = 64% (**S3-1k**); White solid; *E*:*Z* = 1:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.3 Hz, 1H), 7.78 (d, *J* = 7.7 Hz, 1H), 7.37 – 7.33 (m, 3H), 7.31 – 7.22 (m, 3H), 7.18 – 7.15 (m, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 6.99 (d, *J* = 7.4 Hz, 1H), 2.30 (s, 3H), 2.05 (s, 3H), 1.68 (s, 3H), 1.50 (s, 3H), 1.48 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.6, 153.2, 145.0, 144.8, 140.0, 139.6, 138.2, 136.4, 135.9, 131.9, 130.6, 129.74, 129.70, 129.5, 128.3, 128.0, 127.2, 126.9, 125.3, 125.1, 124.8, 124.2, 121.3, 120.9, 119.4, 115.1, 81.3, 81.0, 24.2, 24.1, 24.0, 23.8, 21.6, 21.5, 20.2, 14.8.



2-(((1-(2-(2-bromophenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1l): Yield = 68% (**S3-1l**); White solid; *E*:*Z* = 1:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.4 Hz, 1H), 7.79 (d, *J* = 7.8 Hz, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.45 (d, *J* = 8.3 Hz, 2H), 7.34 – 7.25 (m, 5H), 7.10 (d, *J* = 8.2 Hz, 2H), 2.30 (s, 3H), 1.82 (s, 3H), 1.50 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.8, 152.6, 145.2, 144.9, 136.6, 136.1, 135.7, 135.4, 135.1, 133.9, 132.9, 132.63, 132.56, 132.4, 130.9, 129.7, 129.4, 127.7, 127.2,126.9, 126.6, 126.5, 125.6, 125.4, 124.2, 124.0, 121.5, 120.0, 115.0, 81.4, 81.0, 24.2, 24.14, 24.07, 23.7, 21.6, 21.6, 14.9.

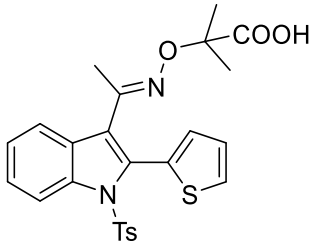


2-(((1-(2-(3-methoxyphenyl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1m): Yield = 72% (**S3-1m**); White solid; *E*:*Z* = 4:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.31 – 7.27 (m, 5H), 7.03 – 6.98 (m, 3H), 6.89 (d, *J* = 7.5 Hz, 1H), 6.81 (s, 1H), 3.80 (s, 0.6H, *Z*-isomer), 3.77 (s, 2.4H, *E*-isomer), 2.27 (s, 2.4H, *E*-isomer), 2.24 (s, 0.6H, *Z*-isomer), 1.70 (s, 2.4H, *E*-isomer), 1.67 (s, 0.6H, *Z*-isomer), 1.53 (s, 4.8H, *E*-isomer), 1.28 (s, 1.2H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.7, 178.6, 158.8, 158.6, 153.2, 151.3, 144.9, 144.6, 140.6, 138.8, 137.6, 137.4, 136.7, 135.5, 134.4, 132.02,131.95, 129.5, 129.3, 129.1, 128.8, 128.7, 128.5, 128.3, 127.0, 126.8, 126.5, 125.4, 125.3, 124.54, 124.50, 124.4, 121.1, 120.9, 120.5, 120.1, 117.3, 117.0, 115.5, 115.4, 81.3, 80.9, 55.32, 55.29, 24.2, 23.9, 21.54, 21.47, 19.9, 15.4.

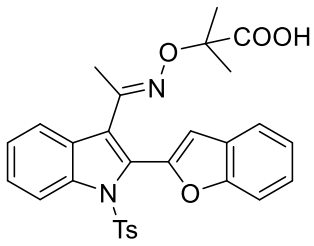


2-methyl-2-(((1-(2-(naphthalen-2-yl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1n): Yield = 75% (**S3-1n**); White solid; *E*:*Z* = 2:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.38 – 8.34 (m, 1H), 7.91 – 7.84 (m, 2H), 7.78 (d, *J* = 7.8 Hz, 2H), 7.61 (s, 1H), 7.58 – 7.51 (m, 3H), 7.36 – 7.27 (m, 2H), 7.25 –7.21 (m, 2H), 7.00 – 6.97 (m, 2H), 2.26 (s, 2H, *E*-isomer), 2.24 (s, 1H,

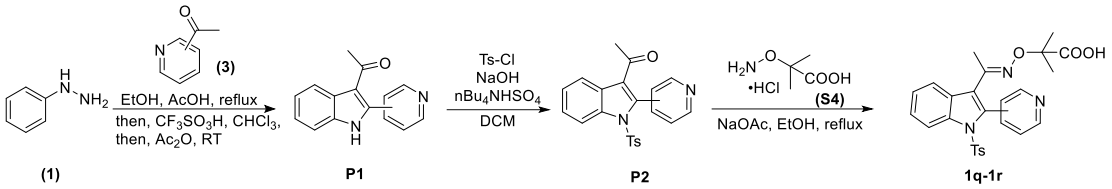
Z-isomer), 1.63 (s, 2H, *E*-isomer), 1.61 (s, 1H, *Z*-isomer), 1.50 (s, 4H, *E*-isomer), 1.33 (s, 2H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.1, 153.5, 151.5, 145.0, 144.7, 139.1, 137.7, 137.4, 136.7, 135.4, 134.4, 133.5, 133.4, 132.6, 132.3, 131.3, 129.5, 129.3, 129.1, 128.9, 128.50, 128.45, 128.4, 128.3, 127.89, 127.85, 127.1, 127.01, 126.98, 126.9, 126.8, 126.5, 126.4, 125.5, 125.4, 124.6, 124.5, 121.2, 120.7, 120.4, 117.0, 115.6, 81.4, 81.0, 24.2, 23.9, 21.6, 21.5, 19.9, 15.7.



2-methyl-2-(((1-(2-(thiophen-2-yl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1o): Yield = 75% (**S3-1o**); White solid; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.32 (d, *J* = 8.2 Hz, 1H), 7.74 (d, *J* = 8.2 Hz,1H), 7.49 (d, *J* = 4.3 Hz, 1H), 7.35 (d, *J* = 8.3 Hz, 2H), 7.31 – 7.28 (m, 1H), 7.27 – 7.24 (m, 1H), 7.12 – 7.11 (m, 1H), 7.08 – 7.07 (m, 1H), 7.03 (d, *J* = 8.1 Hz, 2H), 2.27 (s, 2.5H, *E*-isomer), 2.26 (s, 0.5H, *Z*-isomer), 1.77 (s, 2.5H, *E*-isomer), 1.73 (s, 0.5H, *Z*-isomer), 1.55 (s, 5H, *E*-isomer), 1.29 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.2, 178.8, 153.0, 150.9, 145.0, 144.7, 137.5, 136.9, 135.5, 134.6, 132.8, 131.3, 130.9, 130.6, 130.3, 130.1, 129.6, 129.4, 129.3, 129.0, 128.3, 127.8, 127.0, 126.8, 126.8, 126.6, 125.8, 125.6, 124.5 ,124.4 , 122.3, 121.9, 121.3, 120.7, 116.7, 81.4, 81.0, 24.2, 23.9, 21.6, 21.5, 19.9, 14.9.

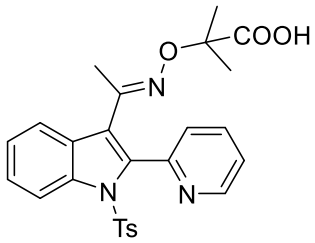


2-(((1-(2-(benzofuran-2-yl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1p): Yield = 64% (**S3-1p**); White solid; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.67 (s, 1H), 8.21 – 8.13(m, 1H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 7.7 Hz, 1H), 7.73 – 7.70 (m, 3H), 7.55 – 7.50 (m, 1H), 7.47 – 7.43 (m, 1H), 7.41 – 7.35 (m, 4H), 7.30 (d, *J* = 0.8 Hz, 1H), 2.33 (s, 2.5H, *E*-isomer), 2.31 (s, 0.5H, *Z*-isomer), 1.84 (s, 0.5H, *Z*-isomer), 1.75 (s, 2.5H, *E*-isomer), 1.43 (s, 5H, *E*-isomer), 1.16 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.3, 155.4, 155.2, 152.3, 145.5, 145.2, 145.0, 144.8, 137.3, 136.8, 135.4, 134.9, 129.7, 129.4, 128.1, 128.0, 127.8, 127.7, 127.6, 127.2, 127.1, 126.6, 126.4, 126.3, 125.5, 125.4, 124.4, 123.8, 123.2, 122.2, 121.71, 121.65, 121.2, 116.1, 115.1, 112.1, 111.51,111.45, 110.4, 81.5, 81.1, 24.2, 23.9, 21.61, 21.56, 20.6, 15.2.

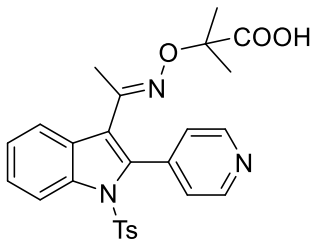


The intermediates **P1** were prepared according to the reported method[3]: Appropriate amounts of compounds **3** and phenyl hydrazine **1** (1 equiv.) were mixed in ethanol, and a few drops of glacial acetic acid was added. The solution was heated under reflux at 80 °C for 1–2 h. The solvent was evaporated in vacuo, to give a solid that was then added to $CHCl_3$ (0.3M), and the resulting mixture was added CF_3SO_3H (12 equiv.) and stirred at RT for 12 h. Ac_2O (2 equiv.) was then added to the above mixture and stirred at RT for 8h. The reaction was quenched with H_2O and extracted with $CHCl_3$. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give intermediates **P1**

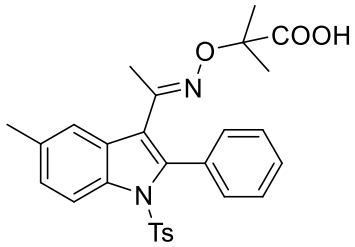
The intermediates **P2** were prepared according to the reported method[4]. The starting substrates **1q-1r** were synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, the cooled reaction solution was poured into water to precipitate the product. The solids were isolated through vacuum filtration. The redidual solvent and moisture in the solid were furter removed under reduced pressure to give the products **1q -1r**.



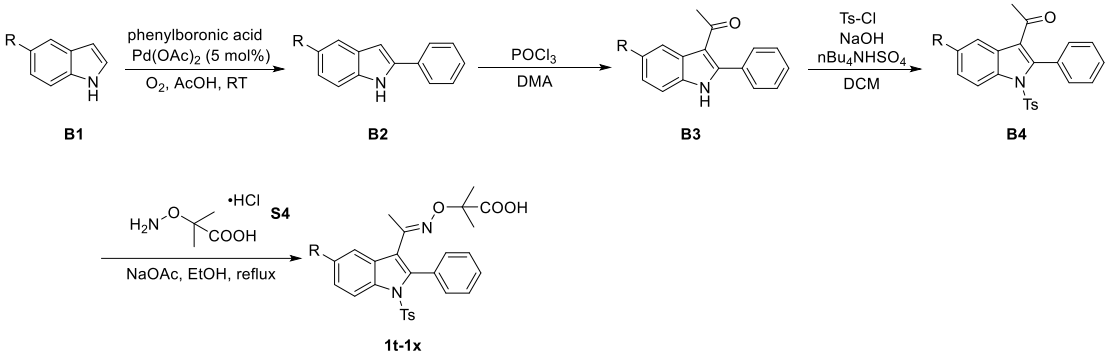
(*E*)-2-methyl-2-(((1-(2-(pyridin-2-yl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1q): Yield = 83% (**P2-1q**); White solid; Only one set of peaks was observed in the ^1H and ^{13}C NMR: ^1H NMR (500 MHz, DMSO-d_6) δ 12.61 (br s, 1H), 8.75 (d, J = 4.3 Hz, 1H), 8.10 (d, J = 8.4 Hz, 1H), 7.96 – 7.93 (m, 1H), 7.88 (d, J = 7.9 Hz, 1H), 7.80 (d, J = 8.1 Hz, 2H), 7.68 (d, J = 7.7 Hz, 1H), 7.55 – 7.53 (m, 1H), 7.45 – 7.42 (m, 1H), 7.36 (d, J = 8.0 Hz, 2H), 7.33 – 7.30 (m, 1H), 2.31 (s, 3H), 1.56 (s, 3H), 1.40 (s, 6H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 175.3, 150.9, 150.6, 149.3, 146.0, 138.3, 136.5, 135.5, 134.5, 130.5, 128.2, 127.8, 127.5, 126.3, 125.0, 124.6, 122.7, 120.6, 115.1, 81.4, 24.4, 21.5, 15.1.



(*E*)-2-methyl-2-(((1-(2-(pyridin-4-yl)-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-propanoic acid (1r): Yield = 85% (**P2-1r**); White solid; Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.70 (d, J = 5.8 Hz, 2H), 8.19 (d, J = 8.4 Hz, 1H), 7.75 (d, J = 7.9 Hz, 1H), 7.50 – 7.45 (m, 5H), 7.37 – 7.32 (m, 3H), 2.30 (s, 3H), 1.68 (s, 3H), 1.36 (s, 6H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 175.3, 149.9, 149.4, 146.3, 139.4, 136.3, 135.9, 134.2, 130.7, 128.6, 127.0, 126.6, 126.4, 125.2, 122.04, 121.96, 115.6, 81.5, 24.4, 21.5, 15.7.

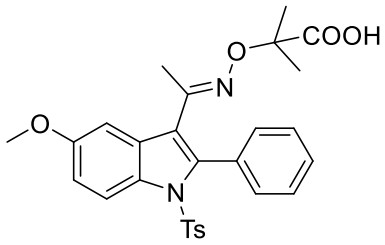


(*E*)-2-methyl-2-(((1-(5-methyl-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1s): Yield = 79% (**S3-1s**); White solid; Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (d, J = 8.6 Hz, 1H), 7.50 (s, 1H), 7.45 – 7.41 (m, 1H), 7.38 – 7.35 (m, 2H), 7.30 – 7.28 (m, 4H), 7.17 (d, J = 8.6 Hz, 1H), 7.04 (d, J = 8.2 Hz, 2H), 2.36 (s, 3H), 2.27 (s, 3H), 1.66 (s, 3H), 1.47 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.5, 153.3, 144.9, 139.2, 135.4, 134.8, 134.1, 131.8, 131.0, 129.5, 129.3, 128.6, 127.5, 126.9, 126.8, 120.9, 120.2, 115.3, 81.5, 24.3, 21.6, 21.4, 15.6.

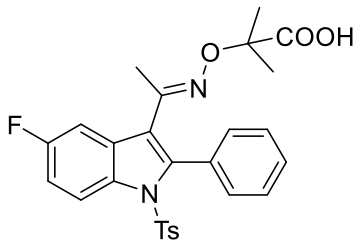


The intermediates **B2** were prepared according to the reported method[9]: 5-substituted indoles **B1** (1.0 equiv.), phenyl boronic acid (1.5 equiv.) and $Pd(OAc)_2$ (0.05 equiv.) were added to a three-necked flask. After AcOH was added by syringe, the resulting solution was degassed twice and refilled with O_2 (1.0 atm.). The mixture was stirred for 8 h at room temperature. AcOH was distilled under reduced pressure, and the residue was dissolved in CH_2Cl_2 and washed with $NaHCO_3$ (aq. Sat.). The organic layer was dried over $MgSO_4$. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give intermediates **B2**

The intermediates **B3** and **B4** were prepared according to the reported method.[2, 4] The starting substrates **1t–1x** were synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4–6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$ and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel to give products **1t–1x**.

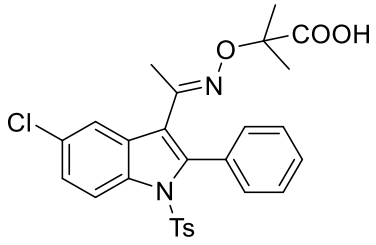


2-(((1-(5-methoxy-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2- methy -lpropanoic acid (1t): Yield = 63% (**B4-1t**); white solid; *E*:*Z* = 6.5 :1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22 (d, *J* = 9.1 Hz, 0.8H), 8.18 (d, *J* = 9.1 Hz, 0.2H), 7.47 – 7.44 (m, 0.8H), 7.40 – 7.37 (m, 2H), 7.31 – 7.19 (m, 5H), 7.05 (d, *J* = 8.2 Hz, 1.7H), 7.01 (d, *J* = 8.2 Hz, 0.3H), 6.97 (dd, *J* = 9.1, 2.6 Hz, 1H), 6.78 – 6.77 (m, 0.2H), 3.78 (s, 0.4H, *Z*-isomers), 3.76 (s, 2.6H, *E*-isomers), 2.28 (s, 2.6H, *E*-isomers), 2.25 (s, 0.4H, *Z*-isomers), 1.61 (s, 3H), 1.54 (s, 5.2H, *E*-isomers), 1.28 (s, 0.8H, *Z*-isomers); ^{13}C NMR (126 MHz, $CDCl_3$) δ 179.1, 178.8, 157.2, 157.1, 153.6, 151.1, 144.9, 144.5, 140.0, 138.4, 135.4, 134.2, 131.9, 131.14, 131.08, 130.9, 130.2, 129.5, 129.4, 129.3, 129.2, 129.1, 127.6, 127.5, 127.0, 126.8, 121.3, 120.2, 117.9, 116.6, 114.8, 114.3, 103.2, 102.7, 81.2, 80.8, 55.6, 24.2, 23.9, 21.6, 21.5, 19.7, 15.3.

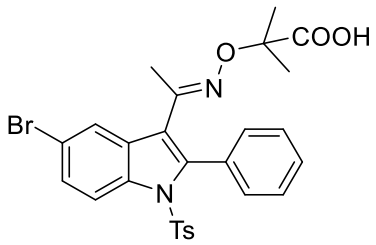


2-(((1-(5-fluoro-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2- methylp -ropanoic acid (1u): Yield = 59% (**B4-1u**); white solid; *E*:*Z* = 9 :1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, DMSO-d_6) δ 12.71 (s, 1H), 8.26 (dd, *J* = 9.2, 4.6 Hz, 0.9H), 8.18 (dd, *J* = 9.2, 4.5 Hz, 0.1H), 7.60 – 7.54 (m, 2H), 7.51 – 7.48 (m, 2H), 7.40 – 7.34 (m, 4H), 7.33 – 7.26 (m, 3H), 2.31 (s, 2.7H, *E*-isomer), 2.27 (s, 0.3H, *Z*-isomer), 1.57 (s, 0.3H, *Z*-isomer), 1.50 (s, 2.7H, *E*-isomer), 1.44 (s, 5.4H, *E*-isomer), 1.18 (s, 0.6H, *Z*-isomer); ^{19}F NMR (471 MHz, DMSO-d_6) δ -117.7, -117.8; ^{13}C NMR (126 MHz, DMSO) δ 175.3, 160.0 (d, $J_{C\text{-}F}$ = 239.4 Hz), 150.8, 148.3, 146.2, 145.7, 141.1, 139.4, 134.6, 133.7, 133.5, 132.6, 131.9, 130.84, 130.75, 130.6, 130.4 (d, $J_{C\text{-}F}$ = 11.3 Hz), 130.1, 129.9, 129.6 (d, $J_{C\text{-}F}$ = 11.3 Hz), 128.1, 127.0, 126.7, 121.1 (d, $J_{C\text{-}F}$ =

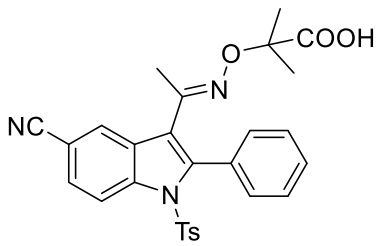
3.8 Hz), 120.2 (d, $J_{C\text{-}F}$ = 3.8 Hz), 118.1 (d, $J_{C\text{-}F}$ = 8.8 Hz), 117.2 (d, $J_{C\text{-}F}$ = 8.8 Hz), 113.8 (d, $J_{C\text{-}F}$ = 25.2 Hz), 113.5 (d, $J_{C\text{-}F}$ = 25.2 Hz), 107.6 (d, $J_{C\text{-}F}$ = 25.2 Hz) , 106.9 (d, $J_{C\text{-}F}$ = 25.2 Hz), 81.4, 80.8, 24.4, 24.1, 21.5, 21.4, 19.8, 14.9.



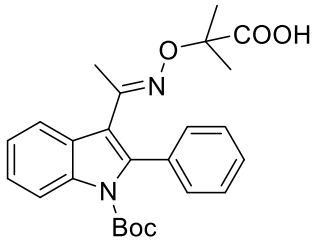
2-(((1-(5-chloro-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2- methyl-propanoic acid (1v): Yield = 68% (**B4-1v**); white solid; *E*:*Z* = 5 :1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, DMSO-d_6) δ 12.47 (s, 1H), 8.26 (d, *J* = 9.0 Hz, 0.8H), 8.18 (d, *J* = 8.9 Hz, 0.2H), 7.88 (d, *J* = 1.9 Hz, 1H), 7.57 – 7.54 (m, 1H), 7.51 – 7.45 (m, 4H), 7.40 – 7.37 (m, 3H), 7.31 – 7.25 (m, 2H), 2.30 (s, 2.5H, *E*-isomer), 2.27 (s, 0.5H, *Z*-isomer), 1.58 (s, 0.5H, *Z*-isomer), 1.51 (s, 2.5H, *E*-isomer), 1.45 (s, 5H, *E*-isomer), 1.19 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, DMSO-d_6) δ 175.3, 175.2, 150.8, 148.1, 146.3, 145.8, 140.8, 139.1, 135.5, 134.7, 134.6, 133.8, 131.9, 130.7, 130.6, 130.2, 130.1, 129.8, 129.6, 128.1, 127.0, 126.7, 126.0, 125.7, 121.5, 120.8, 120.4, 119.7, 118.0, 117.1, 81.4, 80.8, 24.4, 24.1, 21.5, 21.4, 19.9, 15.0.



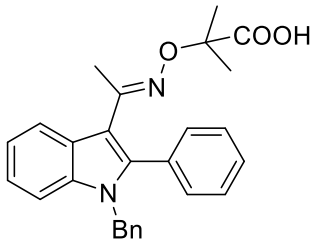
2-(((1-(5-bromo-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2- methyl-propanoic acid (1w): Yield = 62% (**B4-1w**); white solid; *E*:*Z* = 3.3 :1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, DMSO-d_6) δ 12.62 (s, 1H), 8.20 (d, *J* = 8.9 Hz, 0.8H), 8.12 (d, *J* = 8.9 Hz, 0.2H), 8.01 (d, *J* = 1.8 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.59 – 7.53 (m, 1H), 7.50 – 7.43 (m, 2.5H), 7.39 – 7.35 (m, 3H), 7.32 – 7.27 (m, 2.5H), 2.31 (s, 2.3H, *E*-isomer), 2.27 (s, 0.7H, *Z*-isomer), 1.57 (s, 0.7H, *Z*-isomer), 1.50 (s, 2.3H, *E*-isomer), 1.44 (s, 4.6H, *E*-isomer), 1.18 (s, 1.4H, *Z*-isomer); ^{13}C NMR (126 MHz, DMSO-d_6) δ 175.3, 175.2, 150.8, 148.1, 146.3, 145.9, 140.6, 138.9, 135.8, 135.0, 134.6, 133.8, 131.9, 130.7, 130.6, 130.5, 130.2, 130.23, 130.18, 129.9, 128.7, 128.4, 128.2, 128.1, 127.0, 126.7, 124.5, 123.9, 120.2, 119.6, 118.3, 117.72, 117.66, 117.5, 81.4, 80.8, 24.4, 24.1, 21.5, 21.4, 19.9, 15.0.



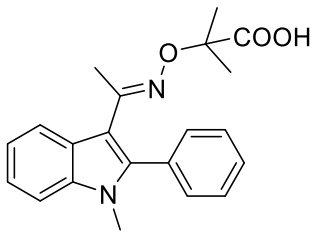
2-(((1-(5-cyano-2-phenyl-1-tosyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1x): Yield = 68% (**B4-1x**); white solid; *E*:*Z* = 3 :1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.45 (d, *J* = 8.8 Hz, 0.75H), 8.41 (d, *J* = 8.7 Hz, 0.25H), 8.21 (s, 0.75H), 7.75 (s, 0.25H), 7.63 – 7.61 (m, 1H), 7.50 – 7.45 (m, 1H), 7.42 – 7.37 (m, 2H), 7.28 – 7.21 (m, 4H), 7.11 (d, *J* = 8.2 Hz, 1.5H), 7.07 (d, *J* = 8.2 Hz, 0.5H), 2.34 (s, 2.3H, *E*-isomer), 2.30 (s, 0.7H, *Z*-isomer), 1.63 (s, 0.7H, *Z*-isomer), 1.61 (s, 2.3H, *E*-isomer), 1.55 (s, 4.5H, *E*-isomer), 1.31 (s, 1.5H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.4, 177.9, 151.8, 149.3, 145.7, 145.4, 140.9, 139.6, 139.2, 138.3, 135.2, 134.4, 131.9, 129.9, 129.83, 129.75, 129.5, 128.6, 128.3, 128.1, 128.0, 127.8, 127.7, 127.1, 126.9, 126.8, 125.7, 119.6, 119.5, 119.2, 117.3, 116.2, 107.8, 107.7, 81.5, 81.1, 24.2, 23.9, 21.6, 21.5, 19.8, 15.1.



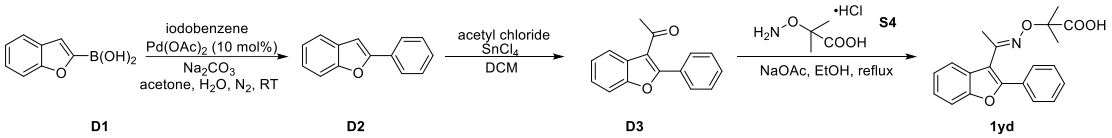
(*E*)-2-(((1-(1-(tert-butoxycarbonyl)-2-phenyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1ya): Yield = 75% (**S3-1ya**); White solid; Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22 (d, *J* = 8.2 Hz, 1H), 7.77 – 7.75 (m, 1H), 7.40 – 7.38 (m, 3H), 7.36 – 7.34 (m, 2H), 7.33 – 7.31 (m, 1H), 7.28 – 7.25 (m, 1H), 1.83 (s, 3H), 1.55 – 1.54 (m, 6H), 1.22 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.9, 138.1, 136.4, 133.9, 130.0, 129.2, 128.3, 128.0, 127.6, 125.0, 123.5, 120.5, 117.9, 115.1, 83.8, 81.3, 27.4, 24.3, 15.9.



(*E*)-2-(((1-(1-benzyl-2-phenyl-1H-indol-3-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (1yb): Yield = 65% (**S3-1yb**); White solid; Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 – 7.96 (m, 1H), 7.42 – 7.37 (m, 3H), 7.31 – 7.29 (m, 2H), 7.24 – 7.17 (m, 6H), 6.93 (d, *J* = 1.8 Hz, 2H), 5.18 (s, 2H), 1.97 (s, 3H), 1.56 – 1.54 (m, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 141.1, 137.4, 136.7, 131.7, 130.7, 129.2, 128.8, 128.7, 128.6, 127.4, 126.1, 123.0, 121.6, 121.2, 110.6, 81.3, 47.6, 24.5, 16.1.



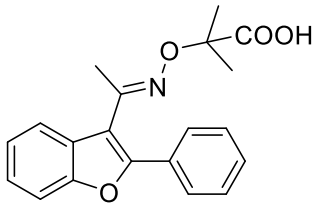
(*E*)-2-methyl-2-(((1-(1-methyl-2-phenyl-1H-indol-3-yl)ethylidene)amino)oxy)propanoic acid (1yc): Yield = 77% (**S3-1yc**); White solid; Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 – 8.01 (m, 1H), 7.54 – 7.53 (m, 3H), 7.45 – 7.40 (m, 3H), 7.36 – 7.32 (m, 1H), 7.30 – 7.26 (m, 1H), 3.61 (s, 3H), 2.02 (s, 3H), 1.60 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.0, 155.7, 140.9, 137.1, 132.0, 130.7, 129.0, 128.7, 125.9, 122.8, 121.3, 121.1, 110.8, 109.7, 81.2, 30.8, 24.5, 16.1.



The intermediate **D2** was prepared according to the reported method[11]: Into a three-necked flask, benzofuran-2-boronic acid (1 equiv.), iodobenzene (1 equiv.), $Pd(OAc)_2$ (0.1 equiv.) and Na_2CO_3 (2 equiv.) were placed under Argon, and acetone and water were added. The reaction mixture was stirred at room temperature until full consumption of the starting material was indicated by TLC (8 h). The reaction mixture was quenched with water and extracted with dichloromethane. The combined organic layers were dried over anhydrous $MgSO_4$, filtrated and concentrated to dryness in vacuo. The crude compound was purified by flash chromatography to afford 2-phenylbenzofuran **D2**.

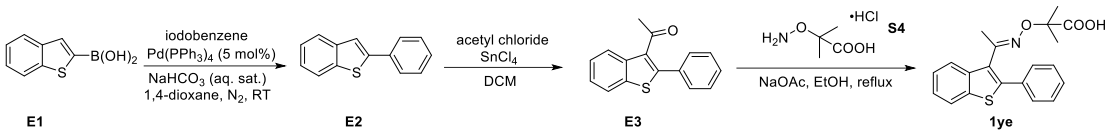
The intermediate **D3** was prepared according to the reported method[12]: $SnCl_4$ (0.697 g, 2.67 mmol) was added drop-wisely to a mixture of **D2** (0.5 g, 2.57 mmol) and the acetyl chloride (0.26 g, 3.34 mmol) in dry dichloromethane (50 mL). The resulting solution was stirred at room temperature overnight. The reaction was quenched with ice and stirred for 1 h. The organic layer was separated and the aqueous layer was extracted with dichloromethane. The combined organic extracts were washed with brine, dried over sodium sulfate. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give intermediate **D3** .

The starting substrate **1yd** was synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give product **1yd.**



2-methyl-2-(((1-(2-phenylbenzofuran-3-yl)ethylidene)amino)oxy)propanoic acid (1yd): Yield = 62% (**D3-1yd**); yellow oil; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.46 (br s, 1H), 7.75 – 7.71 (m, 3H), 7.47 – 7.41 (m, 3H), 7.38 – 7.35 (m, 1H), 7.25 – 7.20 (m, 2H), 2.16 (s, 2.5H, *E*-isomer), 2.15 (s, 0.5H, *Z*-isomer), 1.66 (s, 5H, *E*-isomer), 1.42 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$)

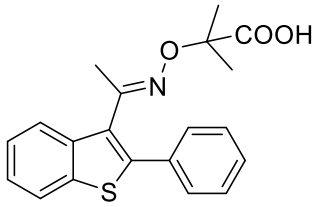
δ 179.9, 154.1, 153.9, 152.1, 130.4, 129.3, 129.1, 128.8, 128.6, 128.3, 128.2, 126.9, 125.0, 124.7, 123.4, 123.0, 121.4, 121.3, 114.1, 111.1, 81.5, 81.3, 24.3, 24.0, 20.6, 16.1.



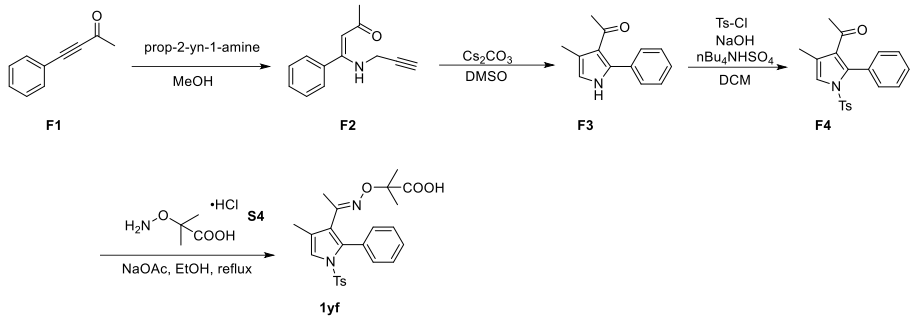
The intermediate **E2** was prepared according to the reported method[13]: Phenyliodide (10.0 mmol, 1.0 eq.) was added under N_2 at RT to a solution of $(Ph_3P)_4Pd$ (0.5 mmol, 0.05 eq.) in 1,4-dioxane (80 mL) and stirred for 20 min. NaHCO3 (aq. Sat., 14 mL) and 1-benzothiophene-2-boronic acid (11.0 mmol, 1.1 eq.) were added and the resulting reaction mixture was refluxed for 1 h. The reaction mixture was then allowe d to cool to r.t. and extracted with CH_2Cl_2 (3×80 mL). The combined organic extracts were washed with NaOH (aq. 1 M, 40 mL) and then with brine, dried over $MgSO_4$ an d concentrated in vacuo to give a brown crystalline solid that was purified by flash chr omatography to give the intermediates **E2**.

The intermediate **E3** was prepared according to the reported method[14]: Benzothiophene **E2** (0.011 mol) and acetyl chloride (1.29 g, 0.016 mol) were dissolved in dry benzene (100 mL) and the mixture was cooled to 5 °C. Then a solution of $SnCl_4$ (4.17 g, 0.016 mol) in dry benzene (30 mL) was added drop wisely for 30 min. The reaction mixture was stirred at room temperature for 3 h and acidified with cold 10% aqueous HCl (50 mL). The organic layer was separated, dried over Na_2SO_4, and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel to give intermediate **E3**.

The starting substrate **1ye** was synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone **E3** (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent. The residue was purified by flash column chromatography on silica gel to give product **1ye.**



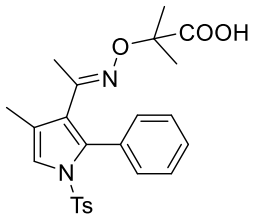
2-methyl-2-(((1-(2-phenylbenzo[b]thiophen-3-yl)ethylidene)amino)oxy)propanoic acid (1ye): Yield = 66% **(E3-1ye)**; yellow oil; *E*:*Z* = 5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.52 (br s, 1H), 7.76 – 7.71 (m, 3H), 7.47 – 7.41 (m, 3H), 7.38 – 7.35 (m, 1H), 7.24 – 7.22 (m, 2H), 2.16 (s, 2.5H, *E*-isomer), 2.15 (s, 0.5H, *Z*-isomer), 1.66 (s, 5H, *E*-isomer), 1.42 (s, 1H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 180.0, 154.1, 153.9, 152.1, 130.4, 129.3, 129.1, 128.8, 128.6, 128.3, 128.2, 126.9, 125.0, 124.7, 123.4, 123.0, 121.4, 121.3, 114.1, 111.1, 81.5, 81.3, 24.3, 24.0, 20.6, 16.1.



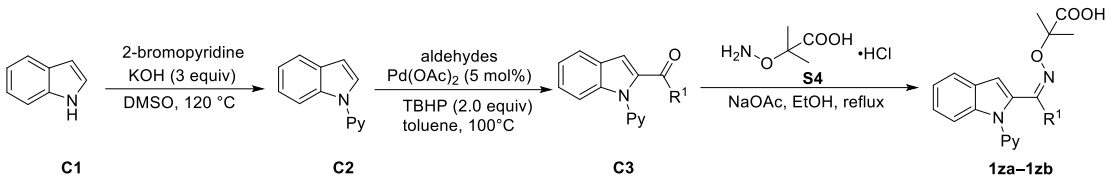
The intermediates **F2** and **F3** were prepared according to the reported method[15]: 1-Phenyloct-2-yn-1-one (10.0 mmol) and propargylamine (1.2 mmol) in MeOH (25 mL) were stirred for 6 h at 60°C. The solvent was evaporated and the residue was worked-up with ethyl acetate and a saturated NaCl solution. The organic phase was separated, dried over Na_2SO_4 filtered and concentrated under reduced pressure to give intermediates **F2**.

A single neck flask, equipped with a magnetic stirrer, was charged with **F2** (2 mmol) and Cs_2CO_3 (4 mmol) in anhydrous DMSO (30 mL). The reaction mixture was stirred for 10 min under argon at room temperature. Eethyl acetate was added and the resulting mixture was washed with a 0.1 N HCl solution and subsequently with a saturated NaCl solution. The organic phase was separated, dried over Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by chromatography to give the intermediate **F3.**

The intermediate **F4** was prepared according to the reported method.[4] The starting substrate **1yf** was synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4–6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give product **1yf.**



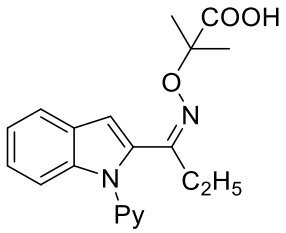
2-methyl-2-(((1-(4-methyl-2-phenyl-1-tosyl-1H-pyrrol-3-yl)ethylidene)amino)oxy) propanoic acid (1yf): Yield = 66% (**F4-1yf**); yellow oil; *E*:*Z* = 6.5:1; Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.36 – 7.31 (m, 1H), 7.27 – 7.21 (m, 3H), 7.19 – 7.14 (m, 2H), 7.09 – 7.07 (m, 4H), 2.34 (s, 3H), 2.08 (s, 2.6H, *E*-isomer), 1.97 (s, 0.4H, *Z*-isomer), 1.61 (s, 3H), 1.44 (s, 5.2H, *E*-isomer), 1.34 (s, 0.8H, *Z*-isomer); ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.9, 178.7, 153.9, 152.0, 144.8, 144.5, 135.6, 133.4, 132.1, 131.8, 131.0, 130.4, 130.1, 129.5, 129.2, 128.8, 128.6, 127.5, 127.4, 127.0, 125.4, 125.2, 122.2, 121.03, 120.95, 120.2, 81.1, 80.7, 24.1, 23.9, 21.6, 21.5, 20.8, 15.8, 11.8, 10.9.



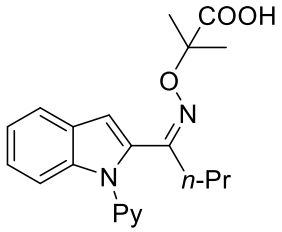
The intermediates **C2** and **C3** were prepared according to the reported method[10]: A three-necked flask was charged with the indole **C1** (1 equiv.), KOH (3 equiv.), 2-bromopyridine (2 equiv.), and dry DMSO (0.1 M) under argon atmosphere. The resulting mixture was heated in an oil bath at 120 ºC until the end of the reaction. The mixture was quenched with a saturated solution of NH_4Cl and extracted with ethyl acetate. The organic phase was dried over $MgSO_4$, followed by evaporation under reduced pressure to remove the solvent. The product was purified by column chromatography on silica gel to afford 1-(pyridin-2-yl)-1H-indole **C2**.

A three-necked flask charged with $Pd(OAc)_2$ (5.0 mol %), 70% TBHP aqueous solution (200 mol %), 1-(pyridin-2-yl)-1H-indole **C2** (1 equiv.) and aldehydes (2 equiv.), toluene was added under Ar atmosphere. The reaction mixture was then allowed to stir at 100 °C for 11 h. The corresponding reaction mixture was filtered through a pad of celite, washed with dichloromethane and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to afford the intermediates **C3**.

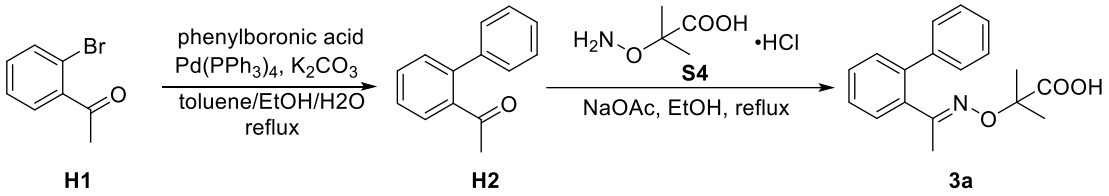
The starting substrates **1za-1zb** were synthesized according to the following procedures:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the corresponding ketone (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent, the residue was purified by flash column chromatography on silica gel to give products **1za-1zb**.



(*E*)-2-methyl-2-(((1-(1-(pyridin-2-yl)-1H-indol-2-yl)propylidene)amino)oxy)propanoic acid (1za): Yield = 72% (**C3-1za**); yellow solid; Only one set of peaks was observed in the ^1H and ^{13}C NMR: ^1H NMR (400 MHz, $CDCl_3$) δ 9.53 (br s, 1H), 8.60 (d, *J* = 4.2 Hz, 1H), 7.80 – 7.77 (m, 1H), 7.65 (d, *J* = 7.6 Hz, 1H), 7.29 – 7.12 (m, 5H), 6.98 (s, 1H), 2.77 (q, *J* = 7.5 Hz, 2H), 1.29 – 1.23 (m, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.6, 155.0, 152.5, 149.3, 139.9, 138.5, 133.9, 127.5, 124.3, 122.6, 122.3, 121.2, 121.1, 110.9, 108.1, 81.3, 24.0, 21.6, 11.5.

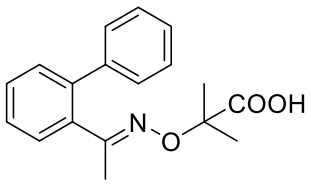


(*E*)-2-methyl-2-(((1-(1-(pyridin-2-yl)-1H-indol-2-yl)butylidene)amino)oxy)propanoic acid (1zb): Yield = 64% (**C3-1zb**); yellow solid. Only one set of peaks was observed in the ^{1}H and ^{13}C NMR: ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.67 (br s, 1H), 8.55 (d, *J* = 4.8 Hz, 1H), 7.74 (td, J = 7.7, 1.9 Hz, 1H), 7.61 (d, *J* = 7.6 Hz, 1H), 7.25 – 7.07 (m, 5H), 6.93 (s, 1H), 2.70 (t, *J* = 5.0 Hz, 2H), 1.72 – 1.65 (m, 2H), 1.19 (s, 6H), 1.00 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 177.8, 153.6, 152.6, 149.2, 139.9, 138.5, 134.3, 127.5, 124.3, 122.6, 122.4, 121.14, 121.10, 110.9, 108.1, 81.2, 29.9, 24.0, 20.5, 14.4.



The intermediate **H2** was prepared according to the reported method[16]: o-Bromobenzaldehyde (5.0 mmol, 1.0 equiv), arylboronic acid (6.0 mmol, 1.2 equiv), $Pd(PPh_3)_4$ (3 mol %) and K_2CO_3 (10 mmol, 2.0 equiv) were dissolved in a mixture of toluene/EtOH/H2O (4:4:1, 27 mL). The resulting mixture was deoxygenated with a stream of argon for 10 min, then heated to reflux until complete consumption of the starting aldehyde (monitored by GS-MS). The reaction was cooled down to room temperature and quenched with saturated NH_4Cl (10 mL). The reaction mixture was extracted with ethyl acetate (3 x 15 mL). The combined organic solution was washed with H_2O, saturated NaCl, dried over anhydrous Na_2SO4, filtered and evaporated under reduced pressure. The residue was purified by silica gel column chromatography to give the intermediates **H2**.

The starting substrate **3a** was synthesized according to the following procedure:[8] 2-(aminooxy)-2-methylpropanoic acid hydrochloride (1.2 equiv.) and NaOAc (2.4 equiv.) were added to a stirred solution of the ketone **H2** (1.0 equiv.) in EtOH (0.2 M). The mixture was then heated to reflux until complete by TLC analysis (4 – 6 hours). The reaction was cooled to room temperature, quenched with H_2O and extracted with ethyl acetate. The combined organic extracts were then washed with H_2O and brine, then dried over $MgSO_4$. After the removal of the solvent. The residue was purified by flash column chromatography on silica gel to give product **3a**.

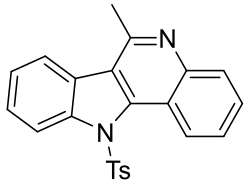


2-(((1-([1,1'-biphenyl]-2-yl)ethylidene)amino)oxy)-2-methylpropanoic acid (3a): Yield = 79% (**H2-3a**); White solid. E:Z = 5:1. Data of the mixture of *E*- and *Z*-isomers: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.29 (m, 9H), 1.94 (s, 0.5H, *Z*-isomer), 1.80 (s, 2.5H, *E*-isomer), 1.52 (s, 5H, *E*-isomer), 1.33 (s, 1H, *Z*-isomer); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.9, 160.1, 157.3, 140.9, 140.7, 140.6, 139.5, 136.5, 134.4, 130.3, 129.7, 129.3, 129.1, 129.0, 128.7, 128.49, 128.46, 128.4, 127.8, 127.6, 127.37, 127.36, 127.1, 81.2, 77.4, 77.1, 76.8, 24.3, 23.9, 22.0, 16.9.

4. General Procedure and Characterization of the Electrolysis Products

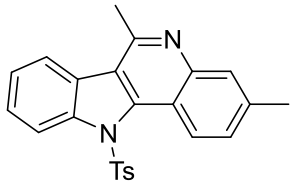
Into a round bottom flask, *n*Bu_4NBr (0.175 mmol), the substrate (0.25 mmol) and HFIP/TFE (4.0 mL/1.0 mL) with *n*Bu_4NBF_4 (0.2 M) as an electrolyte was added. And then Cs_2CO_3 (0.125 mmol) was added to the reaction mixture. The flask was equipped with a reticulated vitreous carbon anode (100 PPI, 1.0 cm x 1.0 cm x 0.5 cm) and a platinum plate (1 cm x 1.5 cm) cathode. The constant current (3 mA) electrolysis was carried out at room temperature until complete consumption of the substrate (monitored by TLC). Water (10 mL) was added to the reaction solution and HFIP/TFE was then removed under reduced pressure. The resulting suspension was extracted with ethyl acetate (3 x 10 mL). The combined organic solution was dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was chromatographed through silica gel eluting with ethyl acetate/petroleum ether to give the desired product.

The gram scale electrolysis of compound **1a** (1.55 g, 3.06 mmol) was conducted in a 100 mL beaker-type cell for 50 h using a RVC plate (100PPI, 4.0 cm x 2.0 cm x 0.5 cm) as anode, a Pt plate cathode (3.0 cm x 2.0 cm) and a constant current of 3 mA. The RVC was fixed on a sharpened graphite rod (φ = 5 mm). Into the beaker-type cell, *n*Bu_4NBr (2.14 mmol), the substrate **1a** (3.06 mmol) and HFIP/TFE (40 mL/10 mL) with *n*Bu_4NBF_4 (0.2 M) as an electrolyte was added. And then Cs_2CO_3 (1.53 mmol) was added to the reaction mixture. The constant current (3 mA) electrolysis was carried out at room temperature for 50 h. Water (50 mL) was added to the reaction solution and HFIP/TFE was then removed under reduced pressure. The resulting suspension was extracted with ethyl acetate (3 x 50 mL). The combined organic solution was dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was chromatographed through silica gel eluting with ethyl acetate/petroleum ether to give the desired product (73% yield).

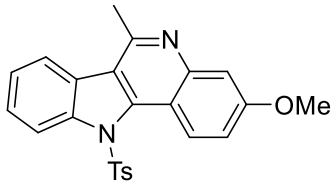


6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2a): The crude product was purified by column chromatography on silica gel to give **2a** as yellow solid (79.3 mg, 82%); **M.P.**: 141-142 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.98 (d, *J* = 8.5 Hz, 1H), 8.40 (d, *J* = 8.2 Hz, 1H), 8.13 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 7.8 Hz, 1H), 7.75 – 7.71 (m, 1H), 7.64 – 7.61 (m, 1H), 7.52 – 7.48 (m, 1H), 7.44 – 7.40 (m Hz, 1H), 6.96 (d, *J* = 8.2 Hz, 2H), 6.80 (d, *J* = 8.1 Hz, 2H), 3.01 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 153.7, 147.5, 145.0, 142.8, 141.2, 132.5, 129.2, 129.1, 128.8, 127.7, 127.0, 126.82, 126.76, 125.9, 125.7, 121.8, 121.5, 119.6, 119.2, 25.0, 21.5; HRMS (m/z) calcd. for

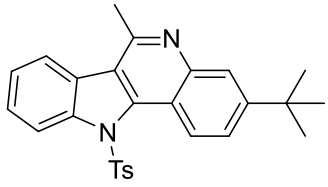
$C_{23}H_{19}N_2O_2S$ $[M+H]^+$: 387.1162; found: 387.1170. IR (KBr, cm^{-1}): *V* = 3059, 2923, 2856, 1562, 1504, 1439, 1373, 1181.



3,6-dimethyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2b): The crude product was purified by column chromatography on silica gel to give **2b** as yellow solid (90.1 mg, 90%); **M.P.**: 195-196 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (d, *J* = 8.7 Hz, 1H), 8.36 (d, *J* = 8.2 Hz, 1H), 7.90 (s, 1H), 7.85 (d, *J* = 7.8 Hz, 1H), 7.47 – 7.43 (m, 2H), 7.39 – 7.36 (m, 1H), 6.95 (d, *J* = 8.2 Hz, 2H), 6.78 (d, *J* = 8.1 Hz, 2H), 2.96 (s, 3H), 2.58 (s, 3H), 2.12 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 153.5, 147.7, 144.8, 142.7, 140.9, 139.4, 132.5, 128.9, 127.9, 127.7, 126.64, 126.62, 126.4, 125.7, 121.6, 120.7, 118.9, 117.3, 24.9, 21.7, 21.4; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_2S$ $[M+H]^+$:401.1318; found: 401.1325; IR (KBr, cm^{-1}): *V* = 3037, 2921, 2857, 1627, 1505, 1445, 1373, 1180.

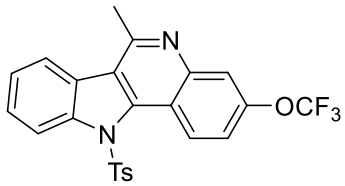


3-methoxy-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2c): The crude product was purified by column chromatography on silica gel to give **2c** as yellow solid (66.8mg, 64%); **M.P.**: 209-210 ºC;^{1}H NMR (500 MHz, $CDCl_3$) δ 8.89 (d, *J* = 9.4 Hz, 1H), 8.39 (d, *J* = 8.3 Hz, 1H), 7.89 (d, *J* = 7.7 Hz, 1H), 7.49 – 7.47 (m, 2H), 7.43 – 7.40 (m, 1H), 7.29 (dd, *J* = 9.4, 2.7 Hz, 1H), 6.97 (d, *J* = 8.4 Hz, 2H), 6.82 (d, *J* = 8.2 Hz, 2H), 3.99 (s, 3H), 2.99 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.5, 154.1, 149.6, 144.9, 143.3, 141.0, 132.6, 129.1, 128.1, 128.0, 126.9, 126.6, 125.9, 121.6, 119.9, 119.2, 118.3, 114.3, 107.5, 55.7, 25.0, 21.6; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_3S$ $[M+H]^+$: 417.1267; found: 417.1282; IR (KBr, cm^{-1}): *V* = 3057, 2931, 2837, 1620, 1561, 1452, 1364, 1179.

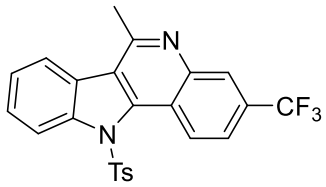


3-(tert-butyl)-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2d): The crude product was purified by column chromatography on silica gel to give **2d** as colorless oil (71.7 mg, 65%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.89 (d, *J* = 9.0 Hz, 1H), 8.39 (d, *J* = 8.3 Hz, 1H), 8.11 (s, 1H), 7.90 (d, *J* = 7.8 Hz, 1H), 7.72 (d, *J* = 7.1 Hz, 1H), 7.50 – 7.47 (m, 1H), 7.42 – 7.39 (m, 1H), 7.01 (d, *J* = 8.4 Hz, 2H), 6.83 (d, *J* = 8.2 Hz, 2H), 3.01 (s, 3H), 2.16 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.5, 152.5, 147.8, 144.9, 142.8, 141.0, 132.7, 129.1, 127.8, 126.79, 126.76, 126.4, 125.8, 124.6, 124.3, 121.7, 120.9, 119.0, 117.4, 35.2, 31.3, 25.0, 21.6; HRMS (m/z) calcd. for $C_{27}H_{27}N_2O_2S$ $[M+H]^+$: 443.1788; found: 443.1797; IR (KBr, cm^{-1}): *V* = 3055, 2961, 2869, 1620, 1552,

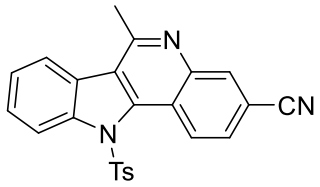
1447,1373, 1182.



6-methyl-11-tosyl-3-(trifluoromethoxy)-11H-indolo[3,2-*c*]quinoline (2e): The crude product was purified by column chromatography on silica gel to give **2e** as white solid (89.2 mg, 76%); **M.P.**: 169-171 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.04 (d, *J* = 9.4 Hz, 1H), 8.42 (d, *J* = 8.4 Hz, 1H), 7.98 (s, 1H), 7.94 (d, *J* = 7.8 Hz, 1H), 7.56 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 6.98 (d, *J* = 8.3 Hz, 2H), 6.85 (d, *J* = 8.2 Hz, 2H), 3.03 (s, 3H), 2.18 (s, 3H); ^{19}F NMR (471 MHz, $CDCl_3$) δ -57.5; ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.2, 149.3 (q, *J* = 2.0 Hz), 148.0, 145.1, 142.5, 141.1, 132.4, 129.1, 128.8, 127.3, 127.2, 126.7, 126.0, 120.6 (q, *J* = 259.2 Hz), 121.8, 121.6, 119.2, 119.0, 118.6, 117.8, 25.0, 21.5; HRMS (m/z) calcd. for $C_{24}H_{18}F_3N_2O_3S$ $[M+H]^+$: 471.0985; found: 471.0995; IR (KBr, cm^{-1}): *V* = 3053, 2926, 2857, 1624, 1562, 1448, 1376, 1259, 1177.

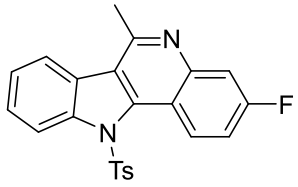


6-methyl-11-tosyl-3-(trifluoromethyl)-11H-indolo[3,2-*c*]quinoline (2f): The crudeproduct was purified by column chromatography on silica gel to give **2f** as colorless oil (49.4 mg, 43%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.11 (d, *J* = 9.0 Hz,1H), 8.44 (d, *J* = 7.6 Hz, 2H), 7.97 (d, *J* = 7.8 Hz, 1H), 7.80 (d, *J* = 8.9 Hz, 1H), 7.59 – 7.55 (m, 1H), 7.49 – 7.46 (m, 1H), 6.99 (d, *J* = 8.1 Hz, 2H), 6.85 (d, *J* = 8.0 Hz, 2H), 3.05 (s, 3H), 2.18 (s, 3H); ^{19}F NMR (471 MHz, $CDCl_3$) δ -62.6; ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.4, 146.5, 145.4, 142.2, 141.4, 132.5, 130.8, 130.5, 129.3, 128.1, 127.8, 127.2, 126.8, 126.7 (q, $J_{C\text{-}F}$ = 4.0 Hz), 126.2, 124.2 (q, $J_{C\text{-}F}$ = 272.7 Hz), 122.9, 122.2, 121.3 (q, $J_{C\text{-}F}$ = 6.1 Hz), 119.1, 25.1, 21.6; HRMS (m/z) calcd. for $C_{24}H_{18}F_3N_2O_2S$ $[M+H]^+$: 455.1036; found: 455.1054; IR (KBr, cm^{-1}): *V* = 3058, 2928, 2862, 1590, 1508, 1447, 1334, 1177.

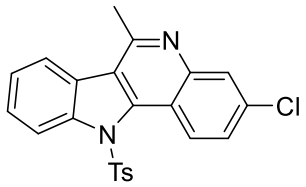


6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline-3-carbonitrile (2g): The crude product was purified by column chromatography on silica gel to give **2g** as yellow solid (63.3 mg, 62%); **M.P.**: 224-225 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.09 (d, *J* = 8.8 Hz, 1H), 8.48 (s, 1H), 8.44 (d, *J* = 8.4 Hz, 1H), 7.99 (d, *J* = 7.9 Hz, 1H), 7.77 (d, *J* = 7.4 Hz, 1H), 7.61 – 7.58 (m, 1H), 7.51 – 7.48 (m, 1H), 6.98 (d, *J* = 8.4 Hz, 2H), 6.86 (d, *J* = 8.3 Hz, 2H), 3.06 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 156.0, 146.2, 145.5,

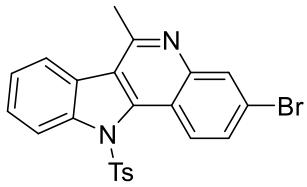
141.9, 141.6, 134.6, 132.4, 129.3, 128.4, 128.1, 126.9, 126.8, 126.4, 126.3, 123.4, 122.3,121.9, 119.1, 118.8, 112.2, 25.2, 21.6; HRMS (m/z) calcd. for $C_{24}H_{18}N_3O_2S$ $[M+H]^+$: 412.1114; found: 412.1117; IR (KBr, cm^{-1}): V = 3053, 2925, 2856, 1547, 1498, 1445, 1371, 1179.



3-fluoro-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2h): The crude product was purified by column chromatography on silica gel to give **2h** as colorless oil (70.8 mg, 70%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.00 – 8.96 (m, 1H), 8.38 (d, J = 8.2 Hz, 1H), 7.89 (d, J = 7.8 Hz, 1H), 7.74 (dd, J = 10.1, 2.5 Hz, 1H), 7.52 – 7.48 (m, 1H), 7.44 – 7.37 (m, 2H), 6.94 (d, J = 8.2 Hz, 2H), 6.81 (d, J = 8.1 Hz, 2H), 2.98 (s, 3H), 2.16 (s, 3H); ^{19}F NMR (471 MHz, $CDCl_3$) δ -110.4; ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.8 (d, $J_{C\text{-}F}$ = 251.5 Hz), 155.1, 148.8 (d, $J_{C\text{-}F}$ = 12.1 Hz), 145.2, 142.9, 141.0, 132.4, 129.2, 129.1, 127.6, 127.1, 126.8, 126.0, 121.8, 121.0 (d, $J_{C\text{-}F}$ = 2.0 Hz), 119.1, 116.5, 115.8 (d, $J_{C\text{-}F}$ = 24.2 Hz), 112.7 (d, $J_{C\text{-}F}$ = 20.2 Hz), 25.0, 21.6; HRMS (m/z) calcd. for $C_{23}H_{18}FN_2O_2S$ $[M+H]^+$: 405.1068; found: 405.1083; IR (KBr, cm^{-1}): V = 3057, 2960, 2860, 1626, 1566, 1446, 1374, 1180.

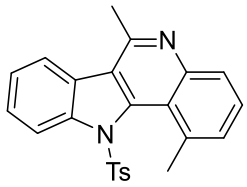


3-chloro-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2i): The crude product was purified by column chromatography on silica gel to give **2i** as colorless oil (66.2 mg, 63%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.93 (d, J = 9.2 Hz, 1H), 8.40 (d, J = 8.2 Hz, 1H), 8.12 (s, 1H), 7.92 (d, J = 7.8 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.46 – 7.42 (m, 1H), 6.96 (d, J = 8.2 Hz, 2H), 6.83 (d, J = 8.1 Hz, 2H), 3.00 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.0, 148.0, 145.2, 142.6, 141.2, 135.1, 132.5, 129.2, 128.2, 127.9, 127.4, 127.3, 126.8, 126.6, 126.1, 121.9, 121.6, 119.1, 118.0, 25.1, 21.6; HRMS (m/z) calcd. for $C_{23}H_{18}ClN_2O_2S$ $[M+H]^+$: 421.0772; found: 421.0782; IR (KBr, cm^{-1}): V = 3058, 2926, 2856, 1601, 1551, 1447, 1374, 1180.

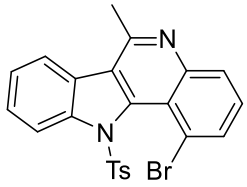


3-bromo-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2j): The crude product was purified by column chromatography on silica gel to give **2j** as colorless oil (63.2 mg, 54%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.85 (d, J = 9.1 Hz, 1H), 8.40 (d, J = 8.3 Hz, 1H), 8.30 (s, 1H), 7.92 (d, J = 7.8 Hz, 1H), 7.70 (d, J = 9.1 Hz, 1H), 7.55 – 7.51 (m, 1H), 7.46 – 7.42 (m, 1H), 6.96 (d, J = 8.0 Hz, 2H), 6.83 (d, J = 8.0 Hz, 2H), 3.00 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.0, 148.1, 145.2, 142.6, 141.2, 132.4,

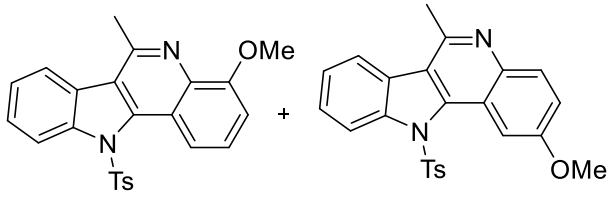
131.2, 129.2, 129.1, 128.2, 127.4, 127.3, 126.8, 126.1, 123.4, 121.9, 121.7, 119.1, 118.2, 25.1, 21.6; HRMS (m/z) calcd. for $C_{23}H_{18}BrN_2O_2S$ $[M+H]^+$: 465.0267; found: 465.0276; IR (KBr, cm^{-1}): *V* = 3056, 2923, 2855, 1600, 1549, 1448, 1374, 1180.



1,6-dimethyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2k): The crude product was purified by column chromatography on silica gel to give **2k** as yellow solid (53.4 mg, 53%); **M.P.**: 188-190 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (d, *J* = 8.2 Hz, 1H), 8.00 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 7.8 Hz, 1H), 7.69 – 7.66 (m, 1H), 7.48 – 7.45 (m, 2H), 7.38 – 7.35 (m, 1H), 6.69 (d, *J* = 8.2 Hz, 2H), 6.50 (d, *J* = 8.3 Hz, 2H), 3.16 (s, 3H), 2.91 (s, 3H), 2.14 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.7, 148.5, 144.7, 144.0, 141.8, 136.7, 130.2, 129.18, 129.16, 128.6, 128.3, 127.2, 126.9, 126.5, 126.0, 123.6, 121.9, 121.0, 120.0, 24.4, 22.5, 21.5; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_2S$ $[M+H]^+$: 401.1318; found: 401.1327; IR (KBr, cm^{-1}): *V* = 3059, 2926, 2857, 1564, 1501, 1439, 1370, 1175.



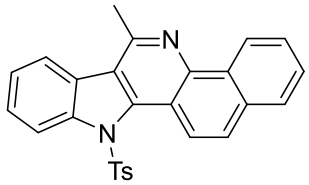
1-bromo-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2l): The crude product was purified by column chromatography on silica gel to give **2l** as yellow solid (42.5 mg, 37%); **M.P.**: 225-227 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.28 (d, *J* = 8.2 Hz, 1H), 8.13 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 7.4 Hz, 1H), 7.79 (d, *J* = 7.8 Hz, 1H), 7.61 – 7.58 (m, 1H), 7.50 – 7.47 (m, 1H), 7.38 – 7.45 (m, 1H), 6.73 (d, *J* = 8.2 Hz, 2H), 6.59 (d, *J* = 8.2 Hz, 2H), 2.99 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 154.0, 148.5, 144.8, 142.7, 141.9, 132.1, 131.2, 129.4, 128.5, 128.1, 128.1, 127.4, 127.0, 126.3, 124.3, 122.1, 121.1, 119.8, 119.5, 24.5, 21.6; HRMS (m/z) calcd. for $C_{23}H_{18}BrN_2O_2S$ $[M+H]^+$: 465.0267; found: 465.0270; IR (KBr, cm^{-1}): *V* = 3060, 2926, 2860, 1541, 1496, 1437, 1374, 1172.



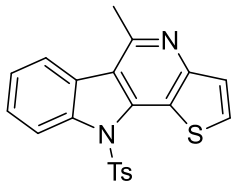
4-methoxy-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2m) & 2-methoxy-6-methyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2m'): The crude product was purified by column chromatography on silica gel to give **2m & 2m'** (1:1.1, 71.8 mg, 69%);
2m: White solid; **M.P.**: 208-209 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.56 (d, *J* = 8.7 Hz, 1H), 8.42 (d, *J* = 8.3 Hz, 1H), 7.93 (d, *J* = 7.8 Hz, 1H), 7.58 – 7.55 (m, 1H), 7.54 – 7.51 (m, 1H), 7.46 – 7.43 (m, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 6.89 (d, *J* = 8.4 Hz, 2H), 6.77 (d, *J* = 8.2 Hz, 2H), 4.14 (s, 3H), 3.06 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$)

δ 154.6, 152.6, 144.8, 143.1, 141.5, 138.9, 132.1, 128.8, 127.9, 127.0, 126.7, 125.9, 125.6, 122.3, 121.9, 120.6, 119.5, 118.8, 107.6, 56.2, 25.3, 21.4; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_3S$ $[M+H]^+$: 417.1267; found: 417.1271; IR (KBr, cm^{-1}): *V* = 3058, 2927,2842, 1568, 1507, 1475, 1371, 1179.

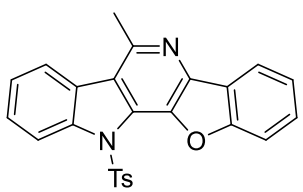
2m': colorless oil; ^1H NMR (500 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.3 Hz, 1H), 8.39 (d, *J* = 2.8 Hz, 1H),8.03 (d, *J* = 9.1 Hz, 1H), 7.92 (d, *J* = 7.8 Hz, 1H), 7.54 – 7.51 (m, 1H), 7.46 – 7.43 (m, 1H), 7.39 (dd, *J* = 9.2, 2.8 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 2H), 6.81 (d, *J* = 8.3 Hz, 2H), 4.05 (s, 3H), 2.98 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.2, 150.8, 144.9, 143.4, 142.2, 141.3, 132.3, 130.1, 128.9, 128.0, 126.9, 126.7, 125.9, 121.9, 121.8, 121.5, 120.4, 119.3, 105.2, 55.8, 24.6, 21.5; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_3S$ $[M+H]^+$: 417.1267; found: 417.1281; IR (KBr, cm^{-1}): *V* = 3053, 2933, 2837, 1563, 1508, 1443, 1364, 1180.



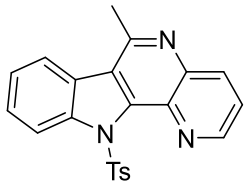
8-methyl-13-tosyl-13H-benzo[h]indolo[3,2-*c*]quinoline (2n): The crude product was purified by column chromatography on silica gel to give **2n** as yellow solid (71.3 mg, 65%); **M.P.**: 190-192 ºC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.41 (d, *J* = 8.2 Hz, 1H), 8.86 (d, *J* = 9.2 Hz, 1H), 8.41 (d, *J* = 8.2 Hz, 1H), 7.96 – 7.89 (m, 3H), 7.76 – 7.69 (m, 2H), 7.54 – 7.51 (m, 1H), 7.46 – 7.42 (m, 1H), 6.91 (d, *J* = 8.2 Hz, 2H), 6.77 (d, *J* = 8.1 Hz, 2H), 3.09 (s, 3H), 2.14 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.0, 145.3, 144.9, 143.6, 141.6, 133.4, 132.2, 131.3, 129.1, 129.1, 129.0, 128.2, 127.8, 127.1, 126.9, 126.2, 126.1, 125.2, 124.0, 122.5, 122.0, 119.5, 117.3, 25.0, 21.6; HRMS (m/z) calcd. for $C_{27}H_{21}N_2O_2S$ $[M+H]^+$: 437.1318; found: 437.1322; IR (KBr, cm^{-1}): *V* = 3054, 2925, 2857, 1563, 1508, 1444, 1372, 1180.



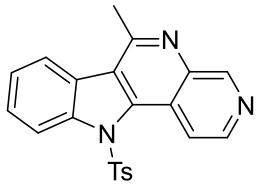
5-methyl-10-tosyl-10H-thieno[2',3':5,6]pyrido[4,3-*b*]indole (2o): The crude product was purified by column chromatography on silica gel to give **2o** as yellow oil (63.6 mg, 65%); ^1H NMR (500 MHz, $CDCl_3$) δ 8.51 (d, *J* = 8.4 Hz, 1H), 8.11 (d, *J* = 7.9 Hz, 1H), 7.80 (d, *J* = 5.7 Hz, 1H), 7.68 – 7.65 (m, 3H), 7.57 – 7.54 (m, 1H), 7.50 – 7.47 (m, 1H), 7.07 (d, *J* = 8.2 Hz, 2H), 3.08 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.7, 151.5, 145.5, 139.8, 138.2, 134.7, 131.2, 130.0, 127.14, 127.07, 125.9, 125.5, 125.0, 122.2, 117.9, 117.0, 116.0, 24.9, 21.7; HRMS (m/z) calcd. for $C_{21}H_{17}N_2O_2S_2$ $[M+H]^+$: 393.0726; found: 393.0735; IR (KBr, cm^{-1}): *V* = 3052, 2924, 2856, 1541, 1503, 1448, 1366, 1180.



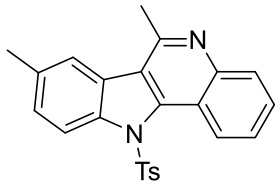
6-methyl-11-tosyl-11H-benzofuro[2',3':5,6]pyrido[4,3-*b*]indole (2p): The crude product was purified by column chromatography on silica gel to give **2p** as pale-yellow solid (36.6 mg, 34%); **M.P.**: 241-243 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.58 (d, *J* =8.5 Hz, 1H), 8.28 (d, *J* = 7.7 Hz, 1H), 8.15 (d, *J* = 7.9 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 2H), 7.79 (d, *J* = 8.3 Hz, 1H), 7.62 - 7.58 (m, 2H), 7.51 - 7.44 (m, 2H), 7.14 (d, *J* = 8.2 Hz, 2H), 3.13 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.0, 149.7, 145.5, 141.8, 138.8, 135.6, 135.5, 130.0, 128.7, 128.1, 127.6, 127.4, 125.5, 124.7, 123.79, 123.75, 122.4, 121.0, 119.8, 115.3, 112.4, 24.7, 21.7; HRMS (m/z) calcd. for $C_{25}H_{19}N_2O_3S$ $[M+H]^+$: 427.1111; found: 427.1121; IR (KBr, cm^{-1}): *V* = 3059, 2949, 1553, 1446, 1379, 1180.



6-methyl-11-tosyl-11H-indolo[3,2-*c*][1,5]naphthyridine (2q): The crude product was purified by column chromatography on silica gel to give **2q** as white solid (54.5 mg, 56%); **M.P.**: 220-221 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.74 (d, *J* = 2.8 Hz, 1H), 8.72 (d, *J* = 8.6 Hz, 1H), 8.35 (d, *J* = 8.5 Hz, 1H), 8.26 (d, *J* = 7.9 Hz, 1H), 8.16 (d, *J* = 8.3 Hz, 2H), 7.68 – 7.65 (m, 1H), 7.58 – 7.53 (m, 2H), 7.30 (d, *J* = 8.2 Hz, 2H), 3.21 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.5, 147.4, 144.5, 141.9, 141.0, 139.8, 138.6, 136.4, 133.7, 129.2, 128.0, 127.7, 124.5, 124.4, 123.5, 122.1, 120.6, 116.8, 25.5, 21.8; HRMS (m/z) calcd. for $C_{22}H_{18}N_3O_2S$ $[M+H]^+$: 388.1114; found: 388.1129; IR (KBr, cm^{-1}): *V* = 3055, 2924, 2855, 1590, 1498, 1441, 1362, 1178.

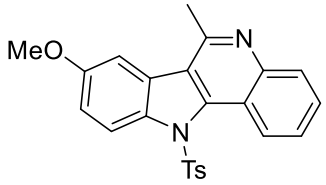


6-methyl-11-tosyl-11H-indolo[3,2-*c*][1,7]naphthyridine (2r): The crude product was purified by column chromatography on silica gel to give **2r** as white solid (46.8 mg, 48%); **M.P.**: 192-193 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.52 (s, 1H), 8.86 (d, *J* = 6.0 Hz, 1H), 8.68 (d, *J* = 6.0 Hz, 1H), 8.49 (d, *J* = 8.4 Hz, 1H), 8.06 (d, *J* = 7.9 Hz, 1H), 7.63 – 7.60 (m, 1H), 7.52 – 7.49 (m, 1H), 7.10 (d, *J* = 8.3 Hz, 2H), 6.89 (d, *J* = 8.2 Hz, 2H), 3.10 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.9, 153.5, 145.5, 143.5, 142.0, 141.4, 140.2, 133.1, 129.5, 128.2, 126.7, 126.4, 126.0, 123.9, 122.8, 122.5, 118.6, 118.5, 25.4, 21.6; HRMS (m/z) calcd. for $C_{22}H_{18}N_3O_2S$ $[M+H]^+$: 388.1114; found: 388.1123; IR (KBr, cm^{-1}): *V* = 3036, 2923, 2854, 1597, 1491, 1445, 1372, 1180.

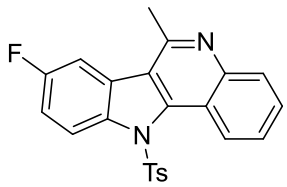


6,8-dimethyl-11-tosyl-11H-indolo[3,2-*c*]quinoline (2s): The crude product was purified by column chromatography on silica gel to give **2s** as white solid (71.9 mg,

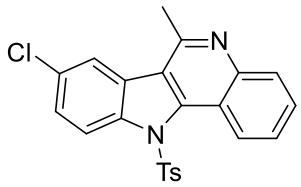
72%); **M.P.**: 199-201 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.98 (d, *J* = 8.5 Hz, 1H), 8.27 (d, *J* = 8.5 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.71 (m, 1H), 7.69 (s, 1H), 7.64 – 7.60 (m, 1H), 7.31 (d, *J* = 8.5 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 2H), 6.80 (d, *J* = 8.3 Hz, 2H), 3.00 (s, 3H), 2.49 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.8, 147.4, 144.8, 143.0, 139.2, 135.7, 132.5, 129.1, 129.0, 128.8, 128.2, 127.9, 126.8, 125.7, 122.1, 121.5, 119.7, 118.8, 25.1, 21.8, 21.6; HRMS (m/z) calcd. for $C_{24}H_{21}N_2O_2S$ $[M+H]^+$: 401.1318; found: 401.1330; IR (KBr, cm^{-1}): *V* = 3060, 2922, 2859, 1559, 1505, 1444, 1371, 1178.



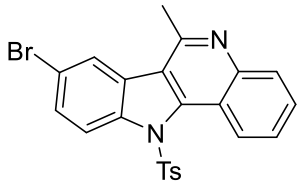
8-methoxy-6-methyl-11-tosyl-11H-indolo[3,2-c]quinoline (2t): The crude product was purified by column chromatography on silica gel to give **2t** as yellow solid (78 mg, 75%); **M.P.**: 196-198 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.97 (d, *J* = 8.5 Hz, 1H), 8.30 – 8.28 (m, 1H), 8.11 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.71 (m, 1H), 7.64 – 7.61 (m, 1H), 7.35 (s, 1H), 7.08 – 7.06 (m, 1H), 6.90 (d, *J* = 8.2 Hz, 2H), 6.79 (d, *J* = 8.0 Hz, 2H), 3.89 (s, 3H), 2.97 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 158.0, 153.5, 147.4, 144.8, 143.6, 135.2, 132.1, 129.1, 128.9, 128.7, 126.73, 126.72, 125.6, 121.6, 120.0, 119.7, 113.7, 106.1, 55.8, 24.8, 21.4; HRMS (m/z) calcd. for Chemical Formula: $C_{24}H_{21}N_2O_3S$ $[M+H]^+$: 417.1267; found: 417.1266; IR (KBr, cm^{-1}): *V* = 2994, 2950, 1477, 1372, 1324, 1180.



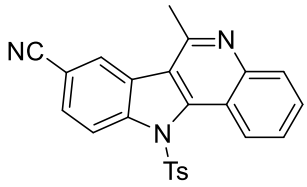
8-fluoro-6-methyl-11-tosyl-11H-indolo[3,2-c]quinoline (2u): The crude product was purified by column chromatography on silica gel to give **2u** as white oil (69.7 mg, 67%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.98 (d, *J* = 8.5 Hz, 1H), 8.38 – 8.36 (m, 1H), 8.13 (d, *J* = 8.4 Hz, 1H), 7.77 – 7.74 (m, 1H), 7.66 – 7.63 (m, 1H), 7.57 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.23 (td, *J* = 8.9, 2.5 Hz, 1H), 6.93 (d, *J* = 8.4 Hz, 2H), 6.83 (d, *J* = 8.4 Hz, 2H), 2.97 (s, 3H), 2.18 (s, 3H); ^{19}F NMR (471 MHz, $CDCl_3$) δ -115.5. ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.9 (d, $J_{C\text{-}F}$ = 244.4 Hz), 153.4, 147.6, 145.1, 143.9, 137.2, 132.1, 129.5, 129.1, 129.0, 128.8, 126.8, 126.7, 125.8, 120.9 (d, $J_{C\text{-}F}$ = 3.8 Hz), 120.4 (d, $J_{C\text{-}F}$ = 8.8 Hz), 119.4, 114.3 (d, $J_{C\text{-}F}$ = 23.9 Hz), 108.1 (d, $J_{C\text{-}F}$ = 25.2 Hz), 24.7, 21.5; HRMS (m/z) calcd. for Chemical Formula: $C_{23}H_{18}FN_2O_2S$ $[M+H]^+$: 405.1068; found: 405.1064; IR (KBr, cm^{-1}): *V* =2921, 2861, 1594, 1473, 1375, 1325, 1175.



8-chloro-6-methyl-11-tosyl-11H-indolo[3,2-c]quinoline (2v): The crude product was purified by column chromatography on silica gel to give **2v** as white solid (66.4 mg, 63%); **M.P.**: 200-202 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.98 (d, *J* = 8.5, 1H), 8.34 (d, *J* = 8.9 Hz, 1H), 8.13 (d, *J* = 8.1 Hz, 1H), 7.88 (d, *J* = 2.0 Hz, 1H), 7.77 – 7.74 (m, 1H), 7.66 – 7.63 (m, 1H), 7.48 – 7.46 (m, 1H), 6.96 (d, *J* = 8.4 Hz, 2H), 6.85 (d, *J* = 8.2 Hz, 2H), 2.99 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.4, 147.7, 145.2, 143.4, 139.4, 132.3, 131.7, 129.5, 129.2, 128.92, 128.86, 126.9, 126.71, 126.65, 125.9, 121.6, 120.3, 120.0, 119.2, 24.9, 21.5; HRMS (m/z) calcd. for Chemical Formula: $C_{23}H_{18}ClN_2O_2S$ $[M+H]^+$: 421.0772; found: 421.0769; IR (KBr, cm^{-1}): *V* = 2989, 2925, 1503, 1436, 1375, 1314, 1182.

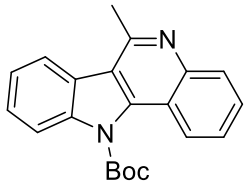


8-bromo-6-methyl-11-tosyl-11H-indolo[3,2-c]quinoline (2w): The crude product was purified by column chromatography on silica gel to give **2w** as yellow solid (67.8 mg, 58%); **M.P.**: 226-227 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.97 (d, *J* = 8.5 Hz, 1H), 8.28 (d, *J* = 8.8 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 1H), 8.03 (s, 1H), 7.77 – 7.73 (m, 1H), 7.65 – 7.59 (m, 2H), 6.96 (d, *J* = 8.2 Hz, 2H), 6.84 (d, *J* = 8.2 Hz, 2H), 2.98 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.4, 147.6, 145.2, 143.2, 139.8, 132.4, 129.7, 129.5, 129.3, 129.2, 128.9, 126.7, 126.6, 125.9, 124.5, 120.4, 120.1, 119.4, 119.2, 24.9, 21.5; HRMS (m/z) calcd. for Chemical Formula: $C_{23}H_{18}BrN_2O_2S$ $[M+H]^+$: 465.0267; found: 465.0266; IR (KBr, cm^{-1}): *V* = 3022, 2925, 1509, 1427, 1373, 1313, 1179.

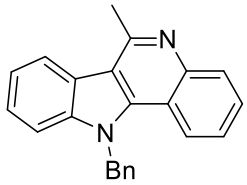


6-methyl-11-tosyl-11H-indolo[3,2-c]quinoline-8-carbonitrile (2x): The crude product was purified by column chromatography on silica gel to give **2x** as white solid (66.3 mg, 64%); **M.P.**: 203-205 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.98 (d, *J* = 8.5 Hz, 1H), 8.53 (d, *J* = 8.7 Hz, 1H), 8.27 (s, 1H), 8.16 (d, *J* = 8.4 Hz, 1H), 7.80 – 7.77 (m, 2H), 7.67 – 7.66 (m, 1H), 7.04 (d, *J* = 8.4 Hz, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 3.05 (s, 3H), 2.21 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.3, 147.9, 145.7, 143.5, 143.2,

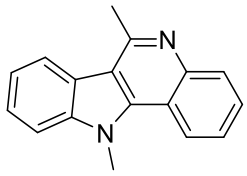
132.6, 129.90, 129.88, 129.5, 129.1, 127.7, 126.6, 126.1, 126.0, 119.5, 119.2, 118.8, 118.7, 109.4, 24.9, 21.5; HRMS (m/z) calcd. for Chemical Formula: $C_{24}H_{18}N_3O_2S$ $[M+H]^+$: 412.1114; found:412.1111; IR (KBr, cm^{-1}): V = 2925, 1559, 1508, 1377, 1176.



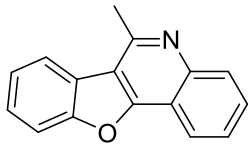
tert-butyl 6-methyl-11H-indolo[3,2-*c*]quinoline-11-carboxylate (2ya): The crude product was purified by column chromatography on silica gel to give **2ya** as yellow oil (48.8 mg, 59%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (d, J = 8.4 Hz, 1H), 8.22 (d, J = 8.4 Hz, 1H), 8.17 (d, J = 8.4 Hz, 2H), 7.70 – 7.67 (m, 1H), 7.55 – 7.52 (m, 2H), 7.48 – 7.45 (m, 1H), 3.18 (s, 3H), 1.74 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 154.2, 151.2, 147.0, 140.3, 139.8, 129.5, 128.5, 126.8, 124.8, 124.74, 124.66, 123.9, 122.0, 118.1, 117.7, 114.9, 85.7, 28.2, 25.3; HRMS (m/z) calcd. for $C_{21}H_{21}N_2O_2$ $[M+H]^+$: 333.1598; found: 333.1603; IR (KBr, cm^{-1}): V = 3059, 2980, 2930, 1740, 1565, 1442, 1360, 1293, 1147.



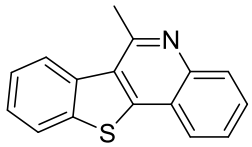
11-benzyl-6-methyl-11H-indolo[3,2-*c*]quinoline (2yb): The crude product was purified by column chromatography on silica gel to give **2yb** as yellow oil (34.8 mg, 43%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.32 (d, J = 7.9 Hz, 1H), 8.23 (d, J = 8.4 Hz, 1H), 8.18 (d, J = 8.4 Hz, 1H), 7.66 – 7.63 (m, 1H), 7.53 (d, J = 3.9 Hz, 2H), 7.48 – 7.45 (m, 1H), 7.42 – 7.38 (m, 1H),7.34 – 7.28 (m, 3H), 7.19 (d, J = 7.2 Hz, 2H), 6.00 (s, 2H), 3.25 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.0, 146.4, 140.9, 140.1, 136.5, 129.7, 129.3, 128.0, 127.9, 126.0, 125.6, 125.2, 122.7, 122.2, 121.8, 121.7, 116.9, 114.5, 109.8, 49.5, 25.2; HRMS (m/z) calcd. for $C_{23}H_{19}N_2$ $[M+H]^+$: 323.1543; found: 323.1554; IR (KBr, cm^{-1}): V = 3059, 2922, 2851, 1559, 1507, 1443, 1237, 1164.



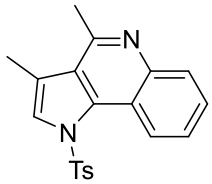
6,11-dimethyl-11H-indolo[3,2-*c*]quinoline (2yc): The crude product was purified by column chromatography on silica gel to give **2yc** as yellow oil (25.3 mg, 41%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (d, J = 8.3 Hz, 1H), 8.28 (d, J = 8.1 Hz, 1H), 8.25 (d, J = 8.4 Hz, 1H), 7.74 – 7.70 (m, 1H), 7.64 – 7.56 (m, 3H), 7.46 – 7.42 (m, 1H), 4.42 (s, 3H), 3.22 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.7, 146.3, 140.2, 139.7, 129.6, 127.6, 124.9, 124.6, 122.1, 121.8, 121.7, 120.9, 117.2, 113.7, 109.1, 33.2, 24.9; HRMS (m/z) calcd. for $C_{17}H_{15}N_2$ $[M+H]^+$: 247.1230; found: 247.1238; IR (KBr, cm^{-1}): V = 3055, 2923, 1559, 1512, 1436, 1328, 1294.



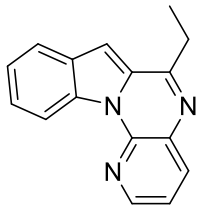
6-methylbenzofuro[3,2-c]quinoline (2yd): The crude product was purified by column chromatography on silica gel to give **2yd** as yellow solid (23.1 mg, 40%); **M.P.**: 132-134 ºC; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.35 (d, *J* = 8.1 Hz, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 8.07 – 8.05 (m, 1H), 7.75 – 7.73 (m, 2H), 7.63 – 7.60 (m, 1H), 7.54 – 7.51 (m, 1H), 7.48 – 7.45 (m, 1H), 3.13 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.4, 155.9, 154.8, 146.9, 129.4, 128.9, 126.8, 126.1, 124.0, 123.4, 121.8, 120.7, 116.2, 115.4, 112.1, 24.2; HRMS (m/z) calcd. for $C_{16}H_{12}NO$ $[M+H]^+$: 234.0913; found: 234.0910; IR (KBr, cm^{-1}): *V* = 2917, 1559, 1508, 1363, 1156.



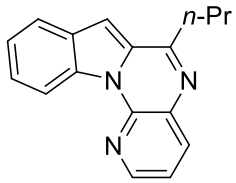
6-methylbenzo[4,5]thieno[3,2-c]quinoline (2ye): The crude product was purified by column chromatography on silica gel to give **2ye** as yellow oil (19.2 mg, 31%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.1 Hz, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 8.07 (d, *J* = 7.6 Hz, 1H), 7.75 – 7.73 (m, 2H), 7.64 – 7.61 (m, 1H), 7.55 – 7.52 (m, 1H), 7.49 – 7.46 (m, 1H), 3.13 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.4, 155.9, 154.8, 146.9, 129.4, 128.9, 126.8, 126.1, 124.0, 123.5, 121.8, 120.7, 116.2, 115.4, 112.1, 24.2; HRMS (m/z) calcd. for $C_{16}H_{12}NS$ $[M+H]^+$: 250.0685; found: 250.0680; IR (KBr, cm^{-1}): *V* = 2919, 1560, 1509, 1363,1155.



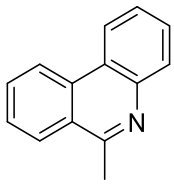
3,4-dimethyl-1-tosyl-1H-pyrrolo[3,2-c]quinoline (2yf): The crude product was purified by column chromatography on silica gel to give **2yf** as yellow oil (58.8 mg, 67%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.96 (d, *J* = 8.6 Hz, 1H), 8.02 (d, *J* = 8.3 Hz, 1H), 7.70 (s, 1H), 7.58 – 7.54 (m, 3H), 7.46 – 7.43 (m, 1H), 7.13 (d, *J* = 8.3 Hz, 2H), 2.95 (s, 3H), 2.53 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 154.2, 145.6, 145.3, 135.9, 135.2, 130.0, 129.3, 127.6, 127.1, 126.8, 125.7, 124.2, 123.5, 117.7, 117.5, 24.5, 21.5, 13.4; HRMS (m/z) calcd. for $C_{20}H_{19}N_2O_2S$ $[M+H]^+$: 351.1162; found: 351.1158; IR (KBr, cm^{-1}): *V* = 2966, 2929, 1499, 1362, 1171.



6-ethylpyrido[3',2':5,6]pyrazino[1,2-*a*]indole (2za): The crude product was purified by column chromatography on silica gel to give **2za** as yellow oil (23.5 mg, 38%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.38 (d, *J* = 8.6 Hz, 1H), 8.60 (d, *J* = 4.7 Hz, 1H), 8.19 (d,*J* = 7.8 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.59 – 7.56 (m, 1H), 7.48 – 7.45 (m, 1H), 7.37 (dd, *J* = 7.9, 4.8 Hz, 1H), 7.20 (s, 1H), 3.15 (q, *J* = 7.6 Hz, 2H), 1.51 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.0, 146.6, 143.1, 136.2, 133.1, 130.6, 130.2, 128.7, 124.9, 123.6, 121.9, 120.0, 118.0, 100.9, 29.0, 12.2; HRMS (m/z) calcd. for $C_{16}H_{14}N_3$ $[M+H]^+$: 248.1182; found: 248.1186; IR (KBr, cm^{-1}): *V* = 3054, 2928, 2862, 1528, 1456, 1413.



6-propylpyrido[3',2':5,6]pyrazino[1,2-*a*]indole (2zb): The crude product was purified by column chromatography on silica gel to give **2zb** as yellow oil (26.7 mg, 41%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.36 (d, *J* = 8.4 Hz, 1H), 8.58 (dd, *J* = 4.7, 1.6 Hz, 1H), 8.17 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.55 (m, 1H), 7.48 – 7.45 (m, 1H), 7.35 (dd, *J* = 7.9, 4.7 Hz, 1H), 7.18 (s, 1H), 3.08 (t, *J* = 7.8 Hz, 2H), 2.04 – 1.96 (m, 2H), 1.12 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.1, 146.6, 143.1, 136.1, 133.1, 130.6, 130.5, 128.6, 124.9, 123.6, 121.9, 120.0, 118.0, 101.1, 37.9, 21.7, 14.4; HRMS (m/z) calcd. for $C_{17}H_{16}N_3$ $[M+H]^+$: 262.1339; found: 262.1344; IR (KBr, cm^{-1}): *V* = 3051, 2958, 2877, 1576, 1528, 1457, 1410.



6-methylphenanthridine (4a): The crude product was purified by column chromatography on silica gel to give **4a** as white solid (41.6 mg, 86%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (d, *J* = 8.3 Hz, 1H), 8.52 (d, *J* = 8.1 Hz, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 8.10 (d, *J* = 8.2 Hz, 1H), 7.84 – 7.80 (m, 1H), 7.73 – 7.66 (m, 2H), 7.63 – 7.59 (m, 1H), 3.04 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 159.0, 143.8, 132.7, 130.6, 129.5, 128.8, 127.4, 126.7, 126.4, 126.0, 123.9, 122.4, 122.1, 23.5.[17]

5. Cyclic Voltammetry Studies

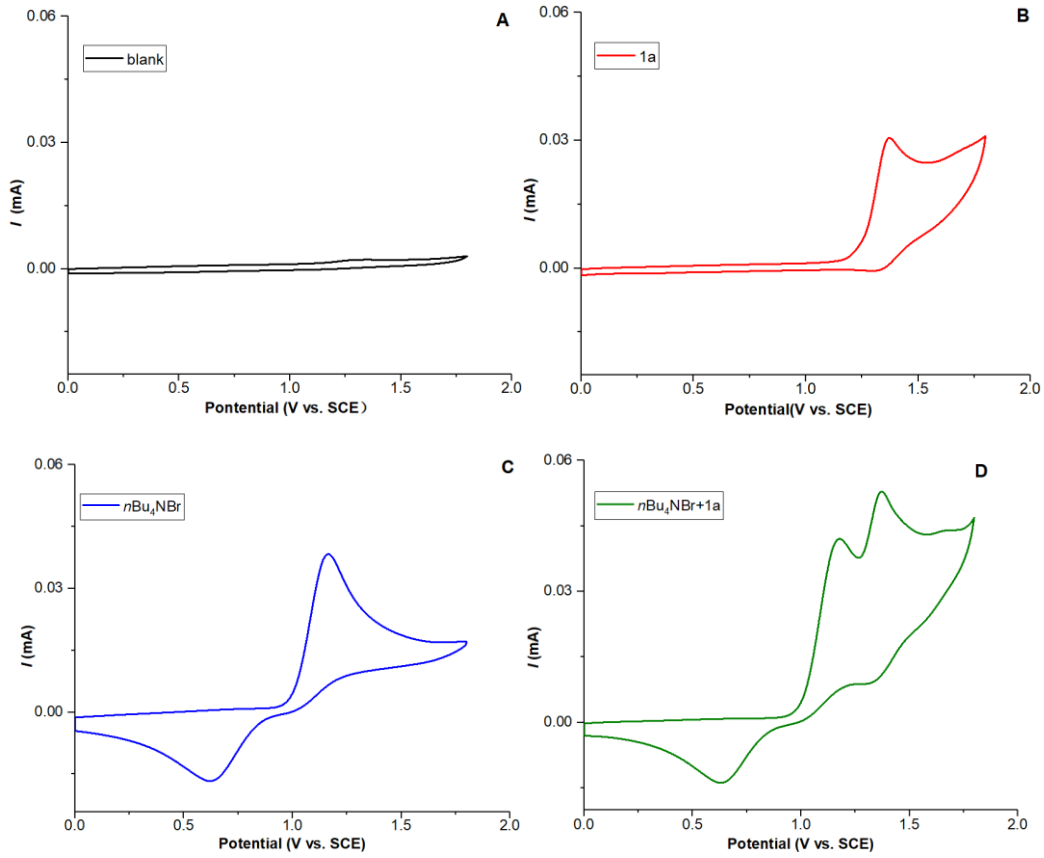
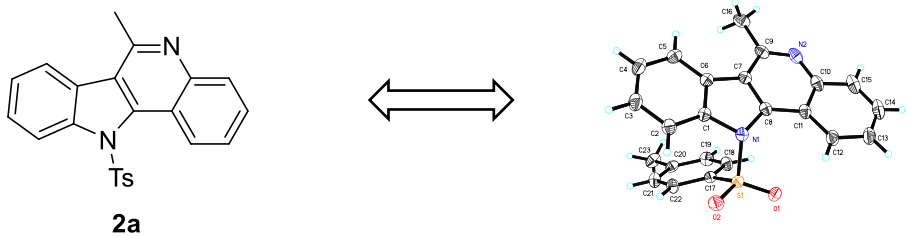


Figure S1. Cyclic voltammograms of 0.2 M nBu$_4$NBF$_4$ solution in a mixed solvent of HFIP/TFE (4:1) at room temperature. (A) None; (B) **1a** (5 mM); (C) nBu$_4$NBr (5 mM); (D) nBu$_4$NBr (5 mM) and **1a** (5 mM). The voltammogram was obtained with Pt wire as an auxiliary electrode and a saturated calomel electrode (SCE) as a reference electrode. The scan rate was 0.1 V s^{-1} on a glassy carbon electrode (GCE) (d = 3 mm).

6. Single Crystal Structure and Data of Compound 2a



2a

mo_d8v21277_0m
CCDC 2081008

Figure S2. The single crystal structure of **2a**.

Table S3. Crystal data and structure refinement for mo_d8v21277_0m

Identification code	mo_d8v21277_0m
Empirical formula	$C_{23}H_{18}N_2O_2S$
Formula weight	386.45
Temperature	213(2) K
Wavelength	0.71073 Å
Crystal system	Monoclinic
Space group	P 21/c
Unit cell dimensions	a = 8.5865(2) Å a= 90°. b = 14.3767(4) Å b= 98.9730(10)°. c = 15.4328(3) Å g = 90°.
Volume	1881.79(8) $Å^3$
Z	4
Density (calculated)	1.364 Mg/m^3
Absorption coefficient	0.194 mm^{-1}
F(000)	808
Crystal size	0.200 x 0.150 x 0.130 mm^3
Theta range for data collection	2.788 to 25.996°.
Index ranges	-9<=h<=10, -17<=k<=17, -19<=l<=19
Reflections collected	18815
Independent reflections	3683 [R(int) = 0.0252]
Completeness to theta = 25.242°	99.5 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7456 and 0.6630
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	3683 / 0 / 255
Goodness-of-fit on F^2	1.040
Final R indices [I>2sigma(I)]	R1 = 0.0360, wR2 = 0.0893
R indices (all data)	R1 = 0.0430, wR2 = 0.0954
Extinction coefficient	n/a
Largest diff. peak and hole	0.264 and -0.313 $e.Å^{-3}$

7. Reference

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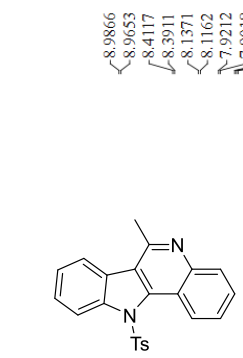
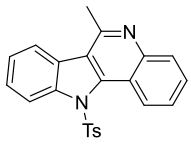
8. NMR Spectra for products

Compound 2a

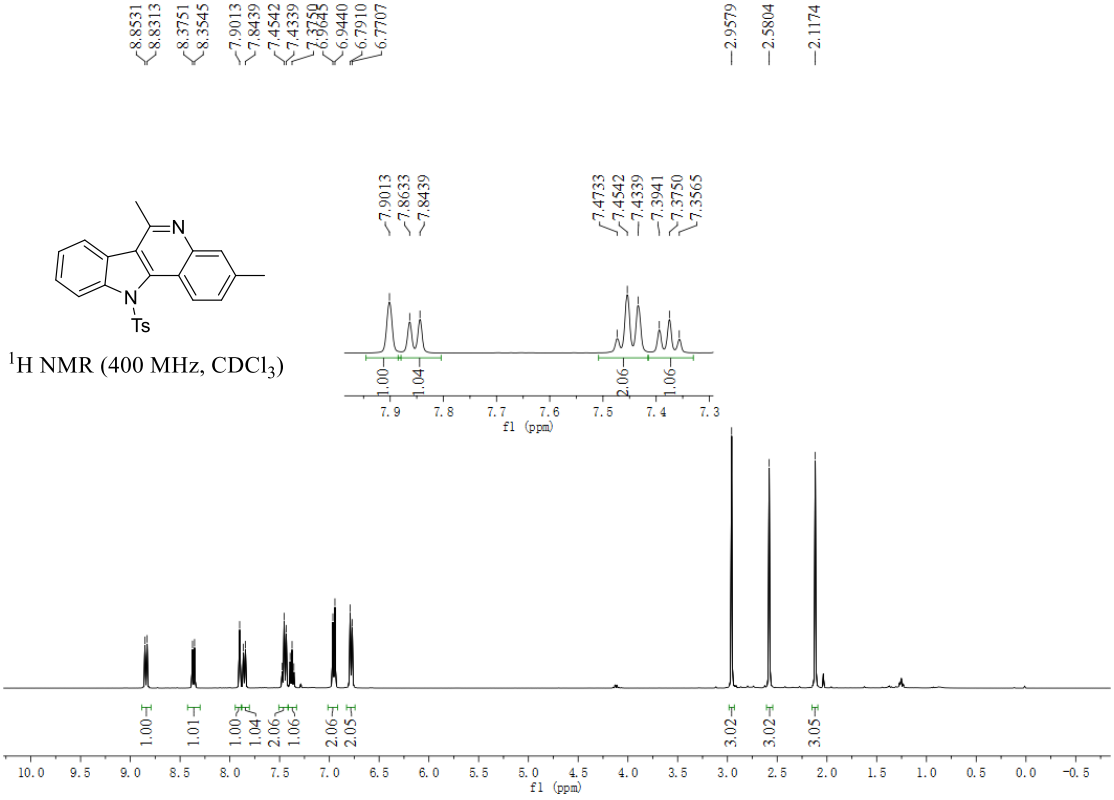


^{1}H NMR (400 MHz, $CDCl_3$)



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

Compound 2b



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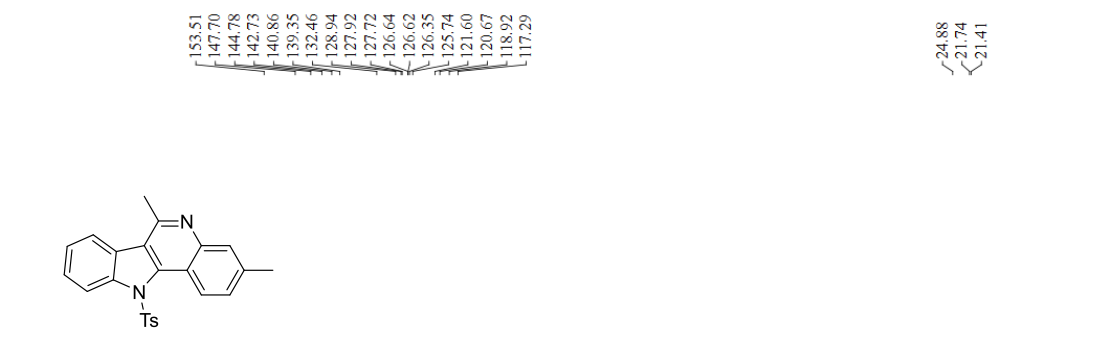

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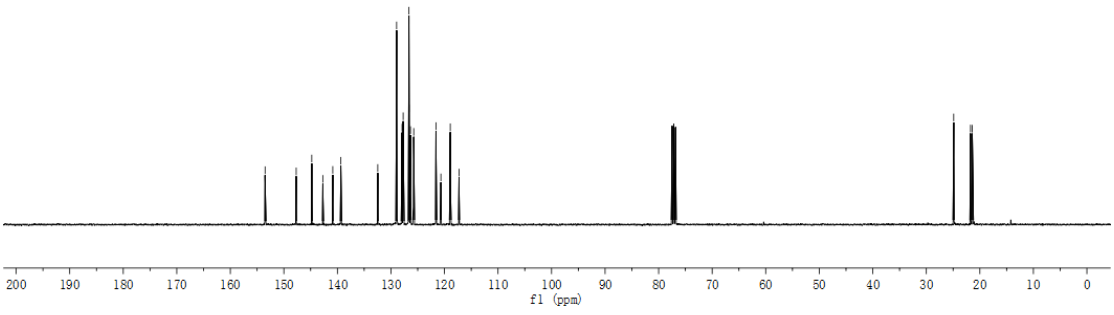
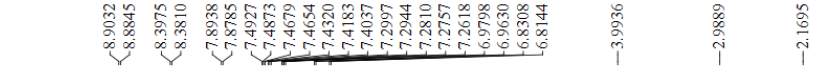
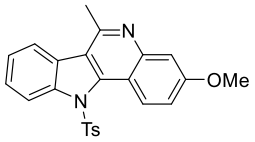


Compound 2c





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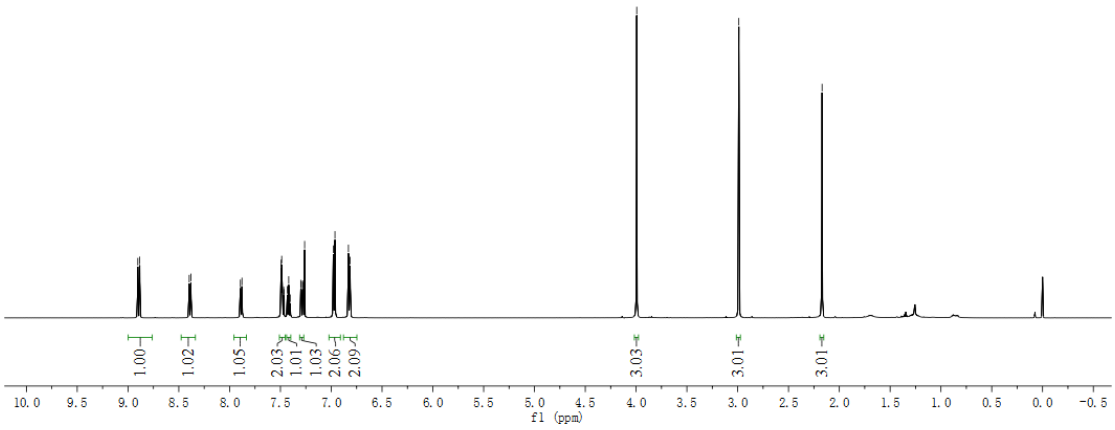
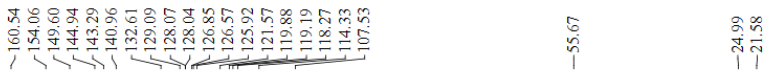
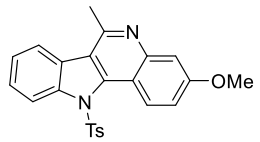
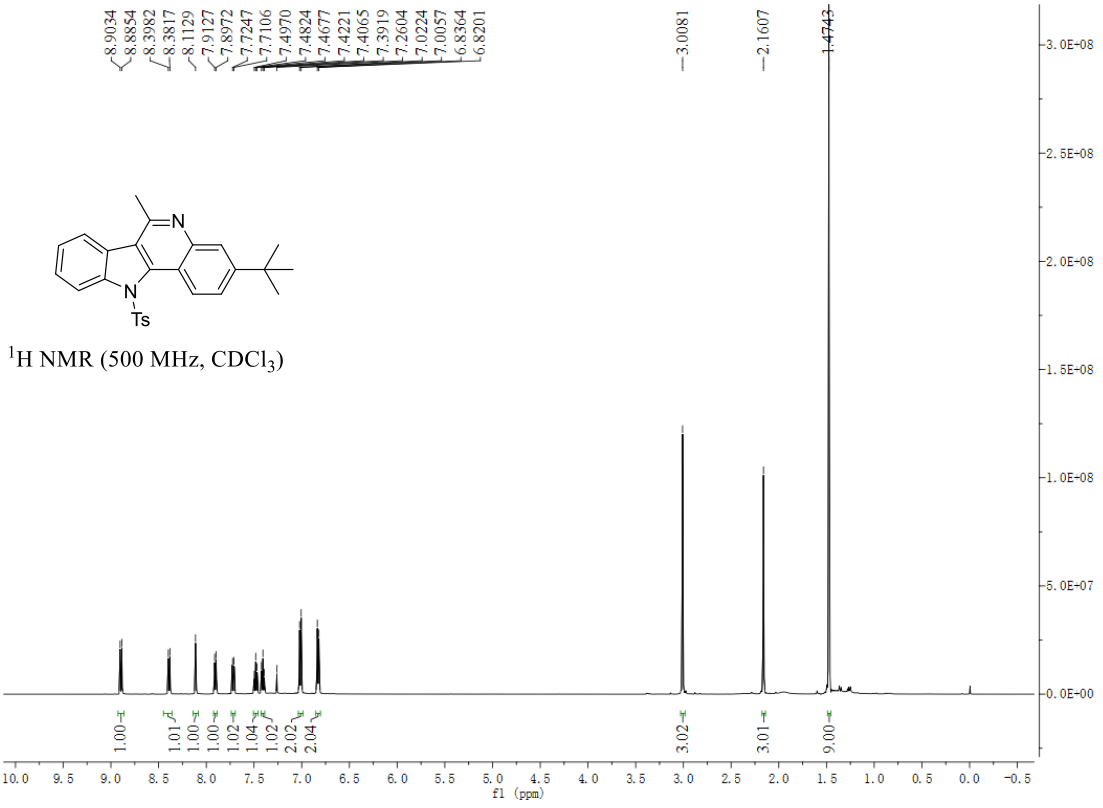




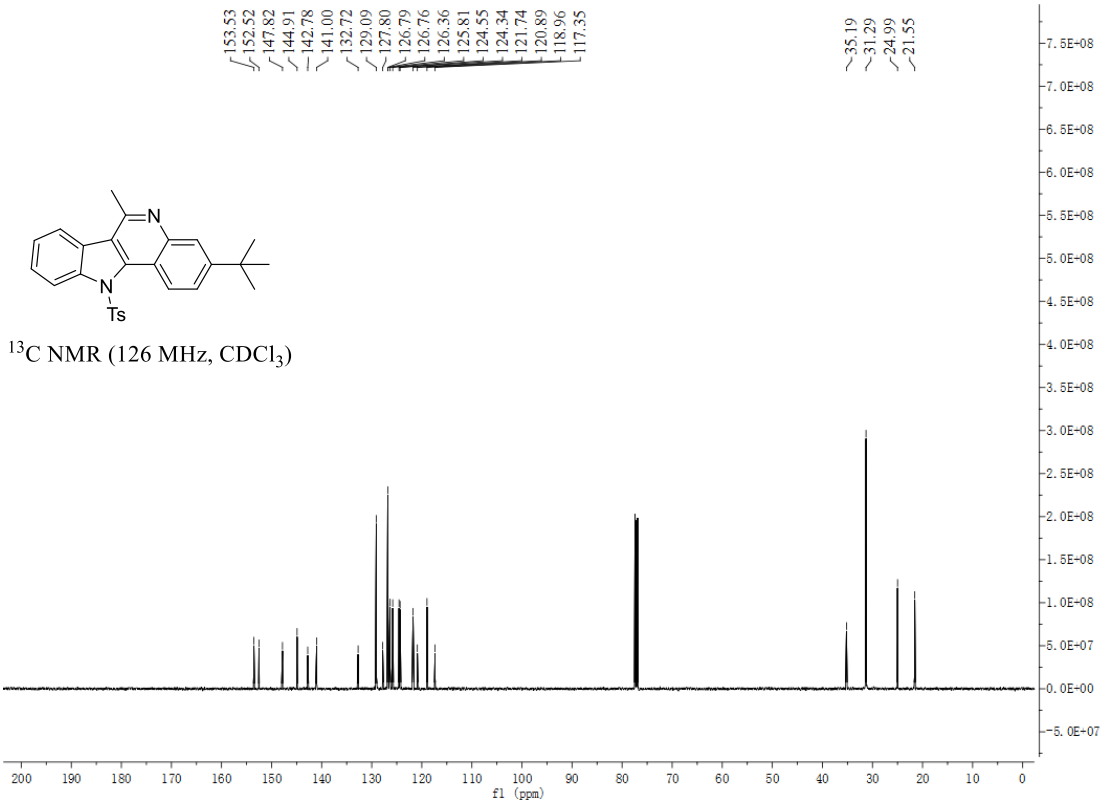


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2d

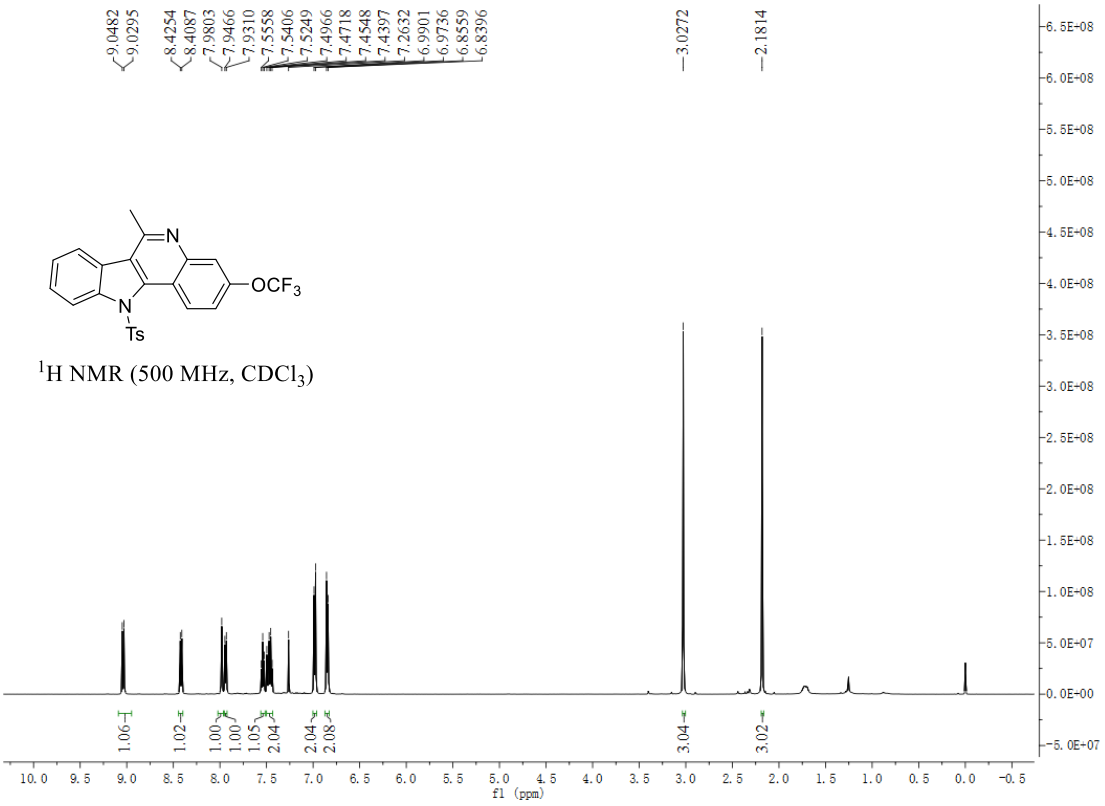


^{1}H NMR (500 MHz, $CDCl_3$)



^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2e



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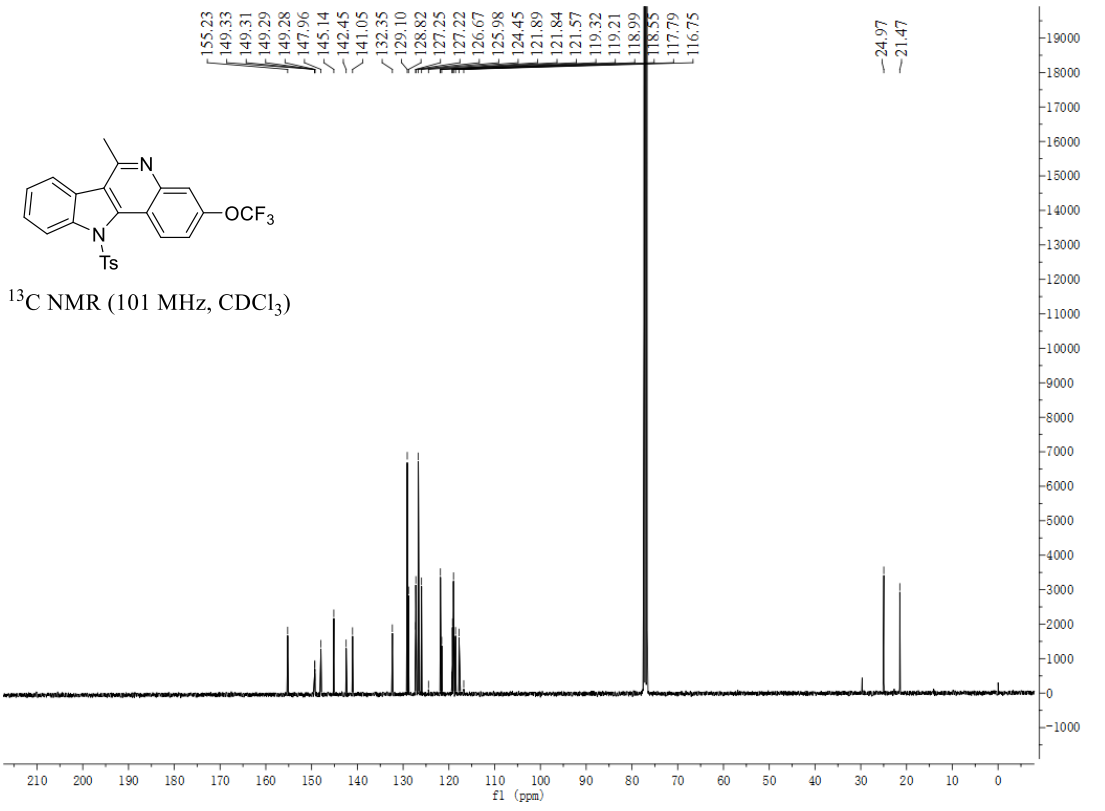

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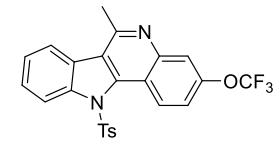
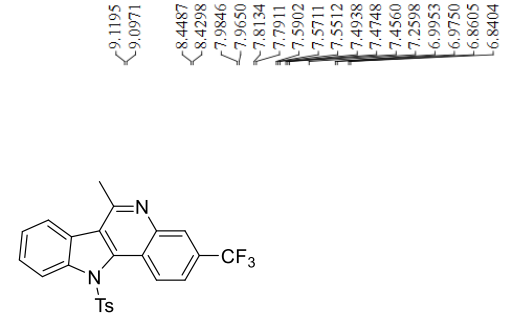


^{19}F NMR (471 MHz, $CDCl_3$)

Compound 2f



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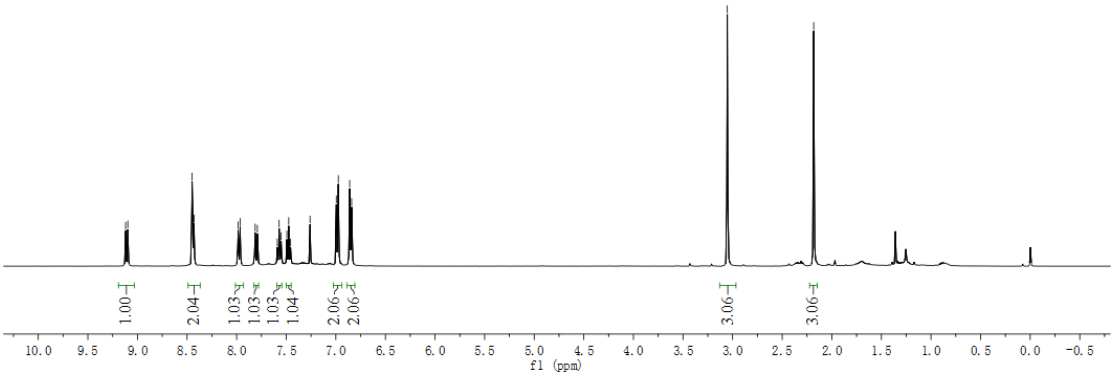
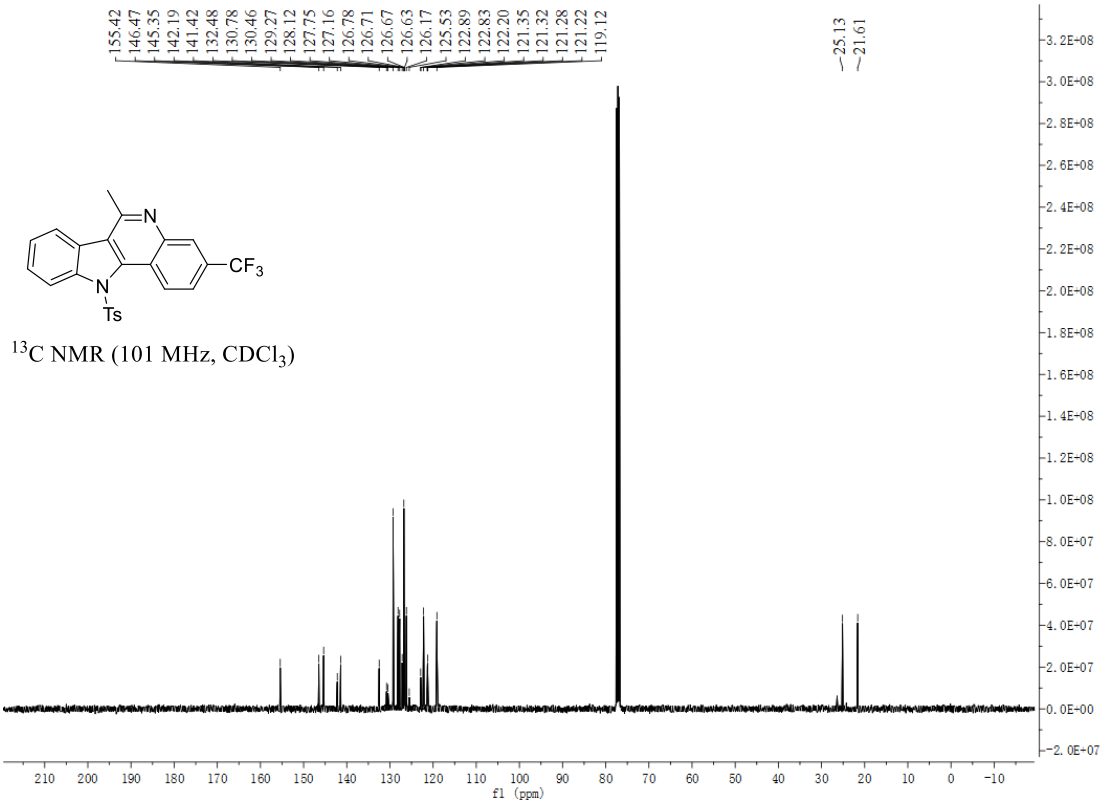
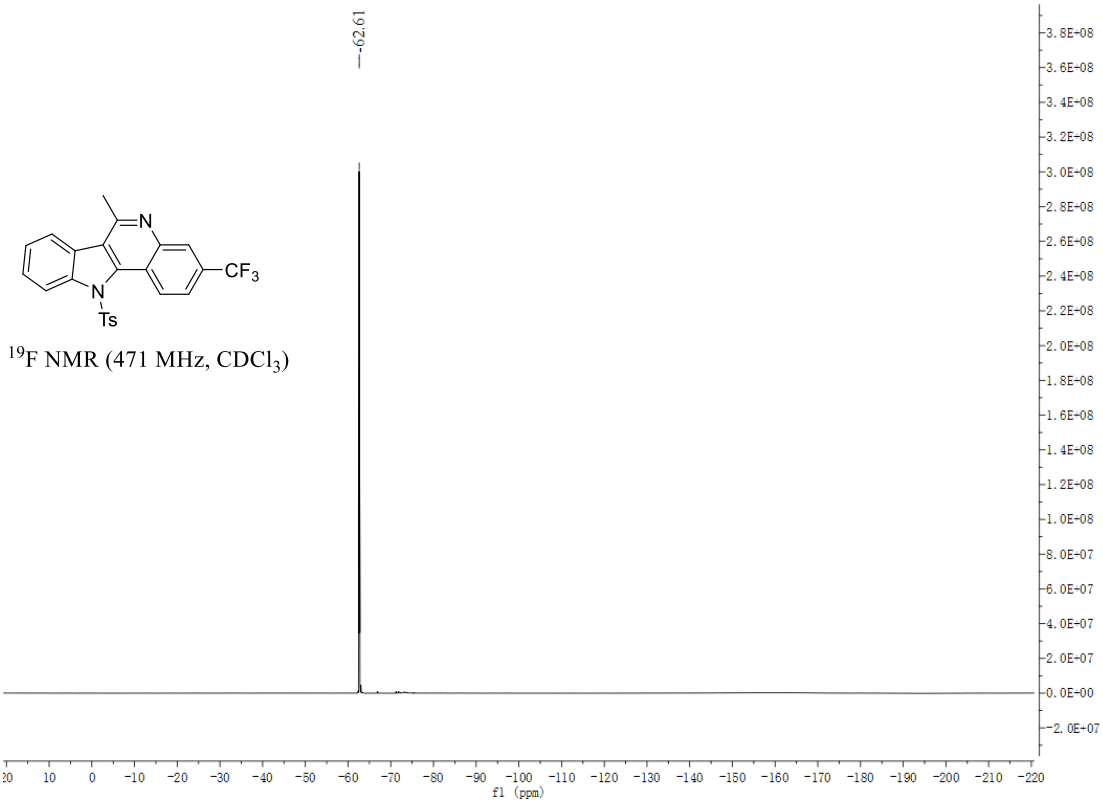


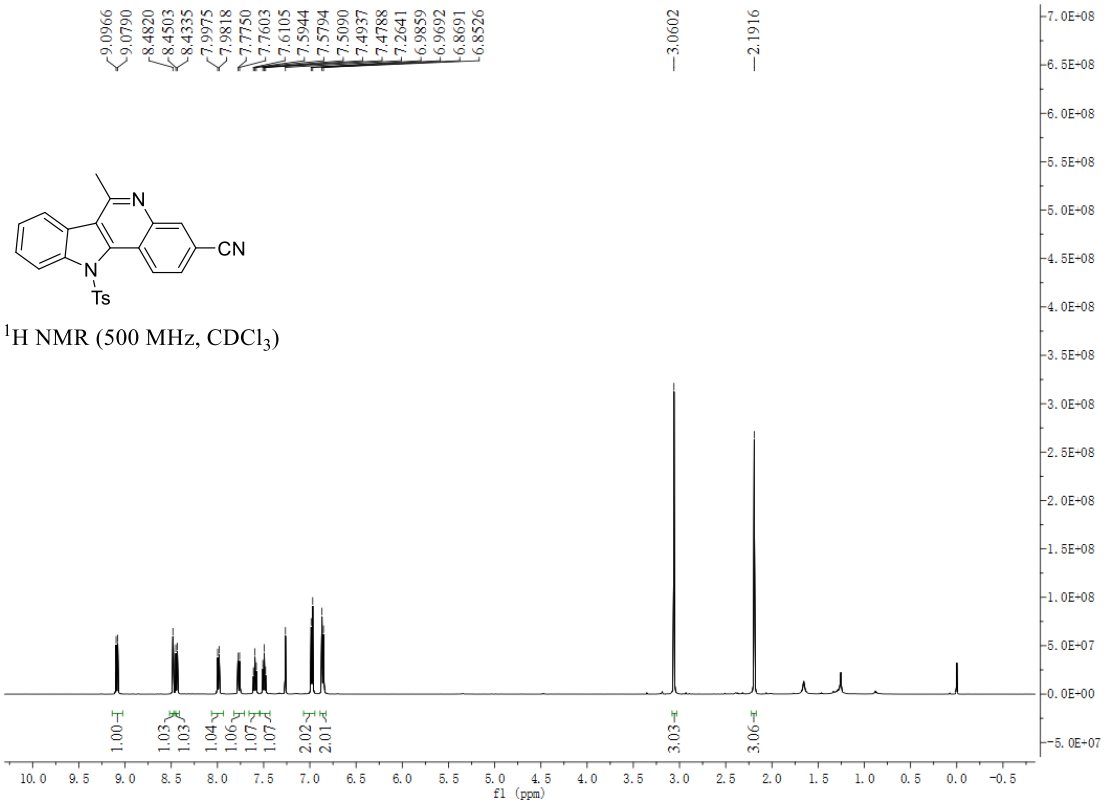


^{13}C NMR (101 MHz, $CDCl_3$)

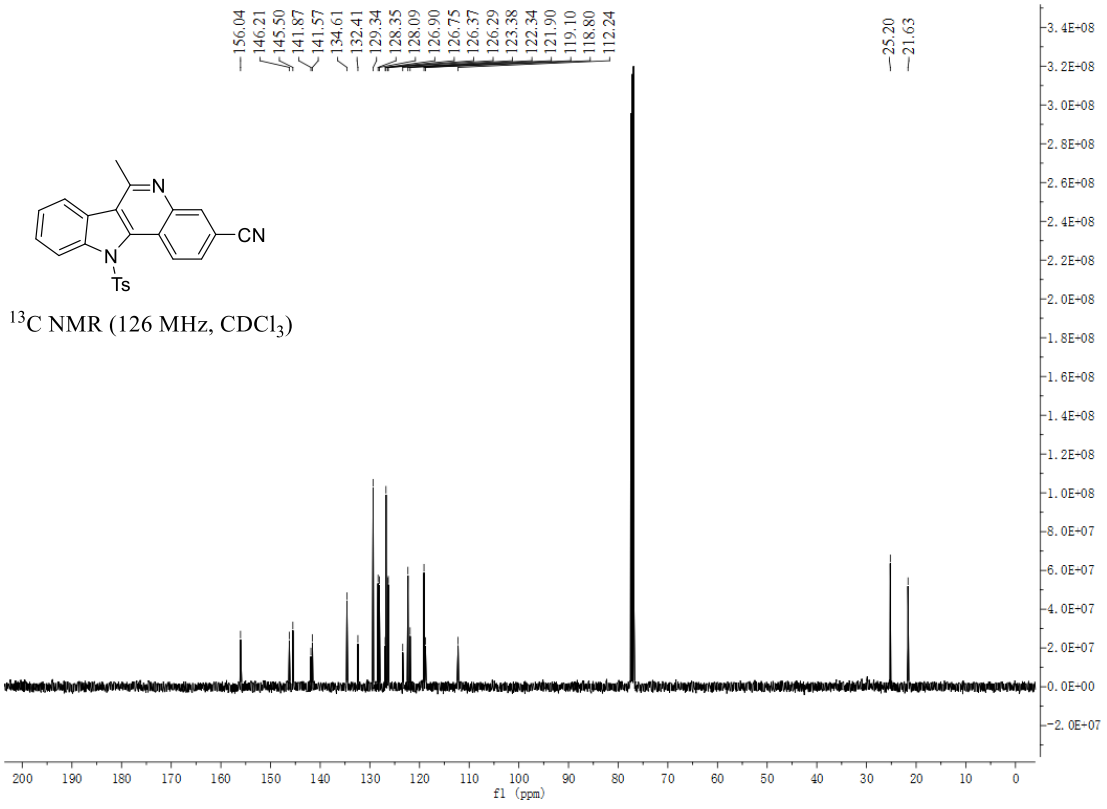


^{19}F NMR (471 MHz, $CDCl_3$)

Compound 2g

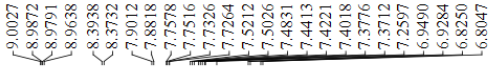


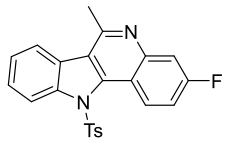
^{1}H NMR (500 MHz, $CDCl_3$)



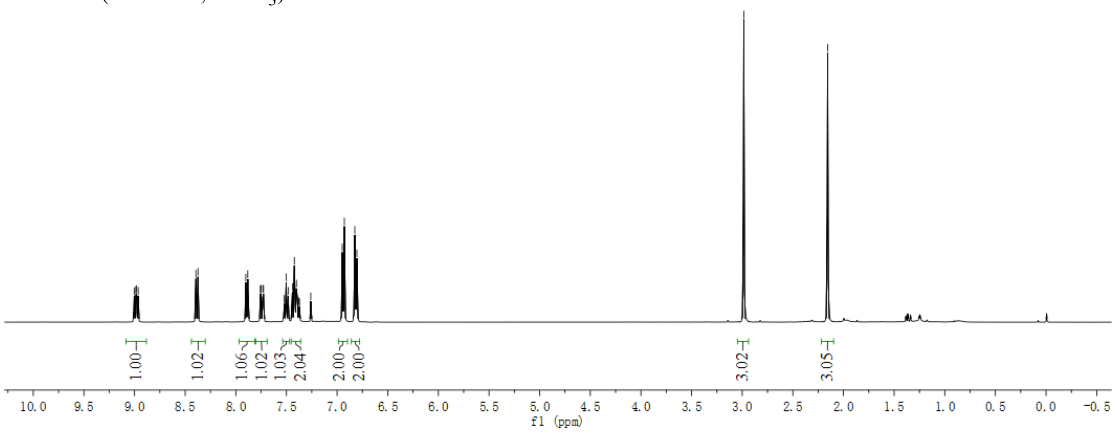
^{13}C NMR (126 MHz, $CDCl_3$)

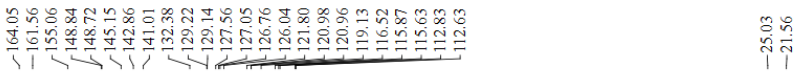
Compound 2h

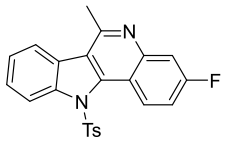




^{1}H NMR (400 MHz, $CDCl_3$)







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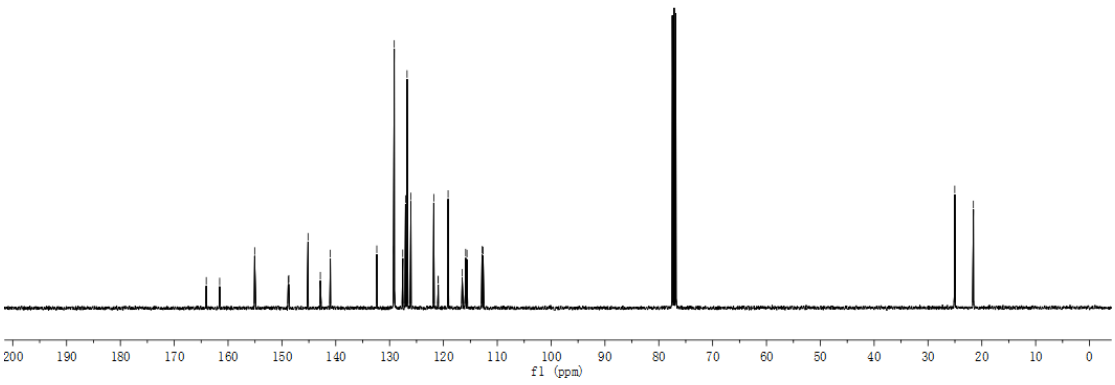
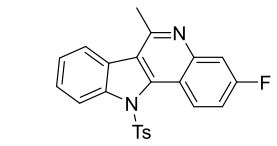
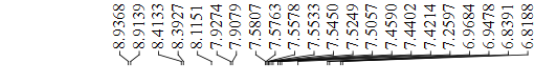
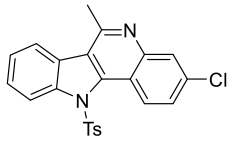




^{19}F NMR (471 MHz, $CDCl_3$)

Compound 2i





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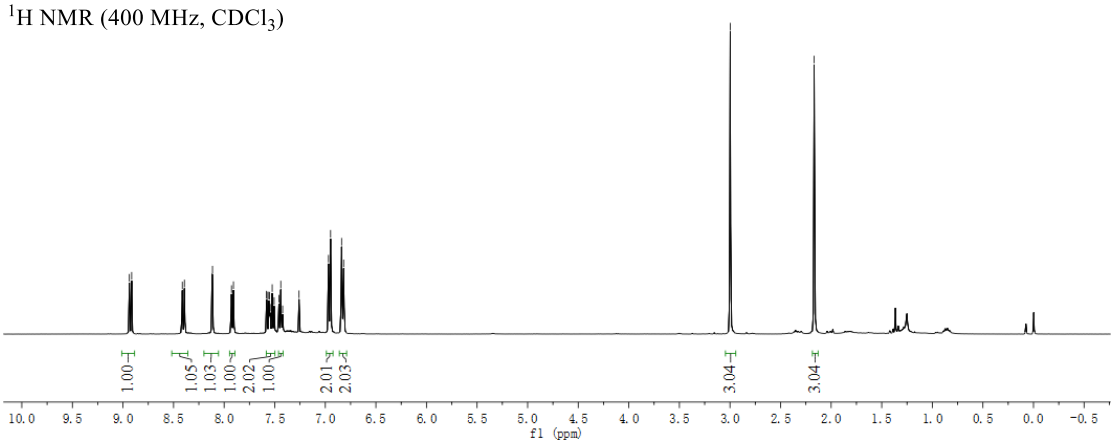
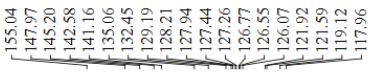
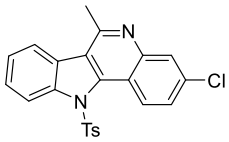
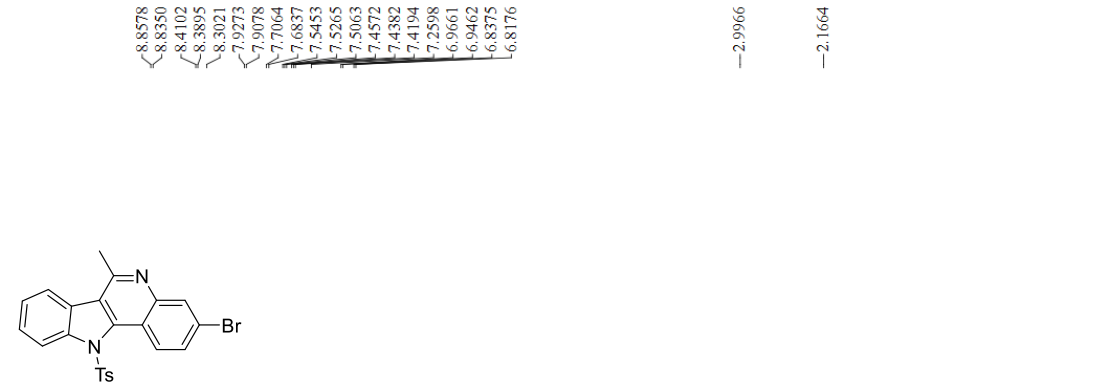






^{13}C NMR (101 MHz, $CDCl_3$)

Compound 2j



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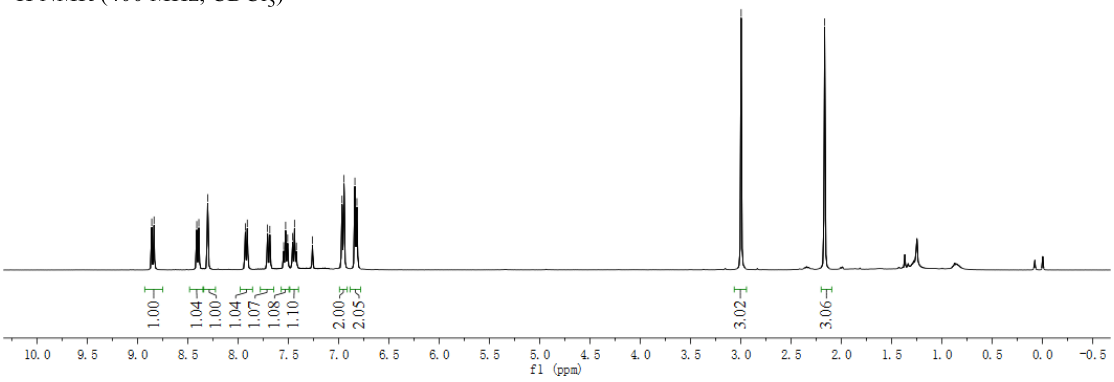

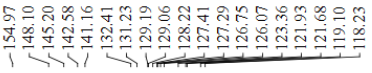

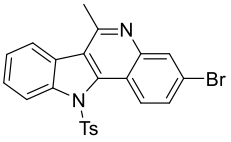

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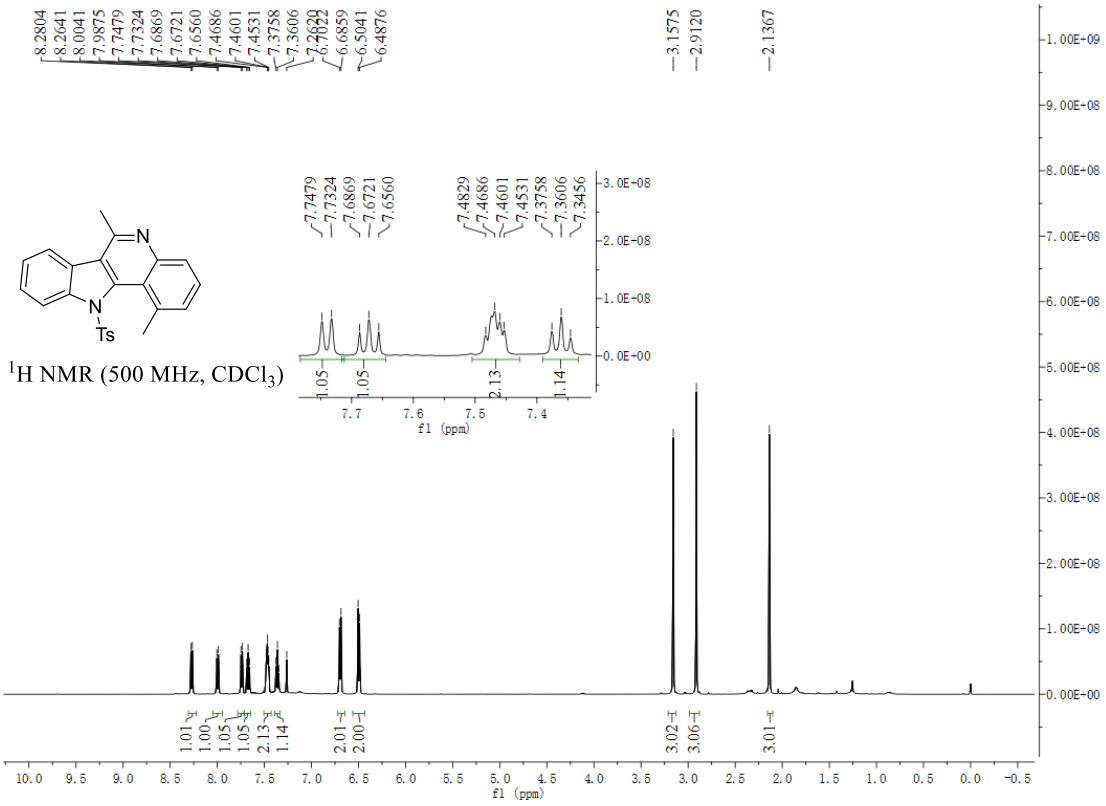
Compound 2k



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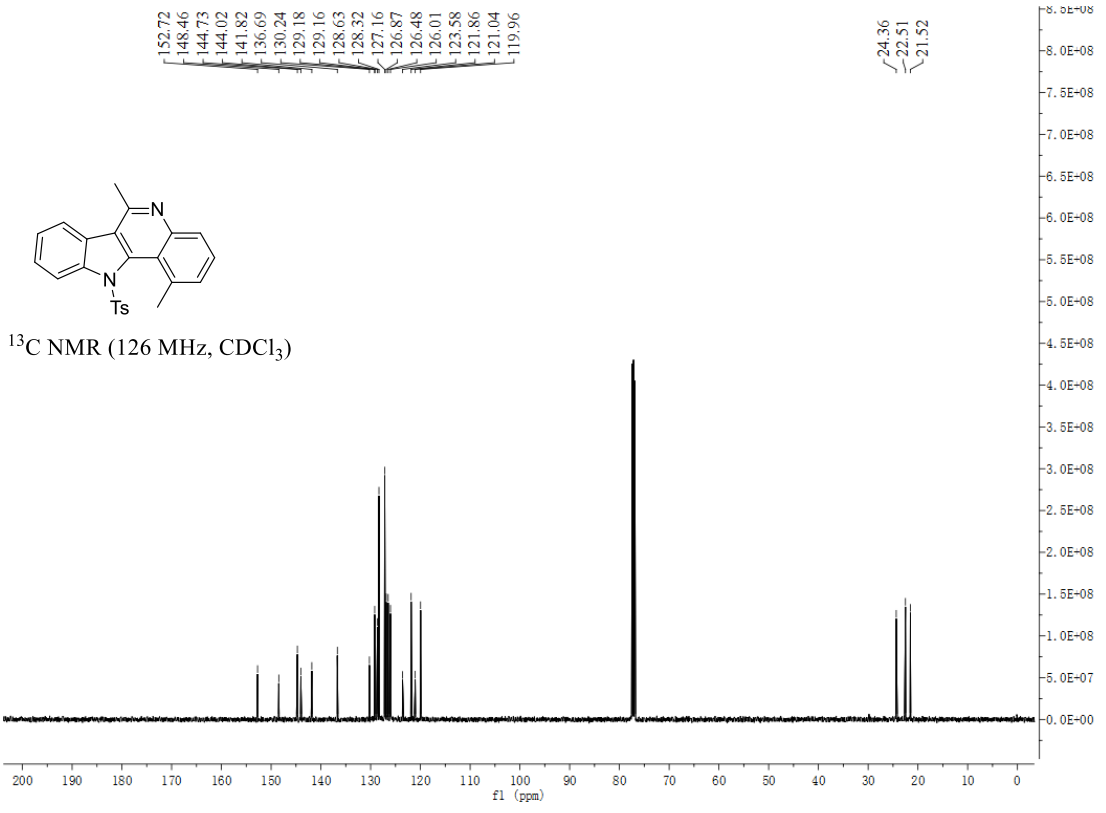
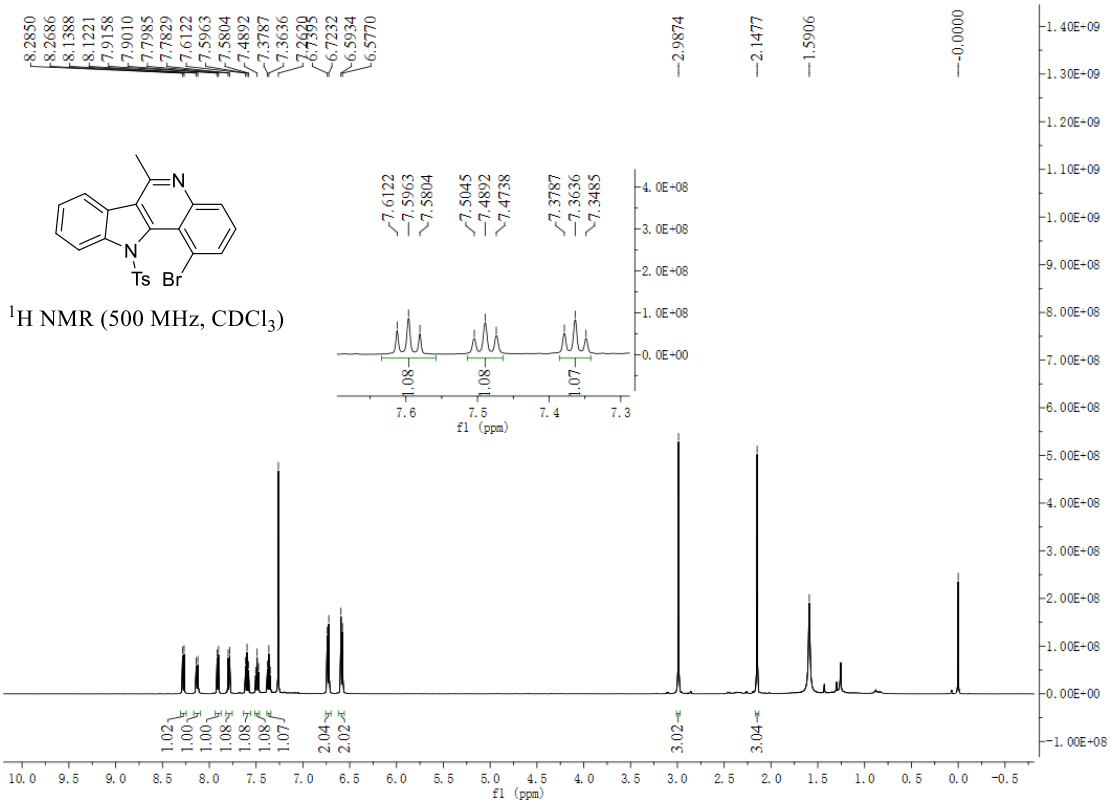
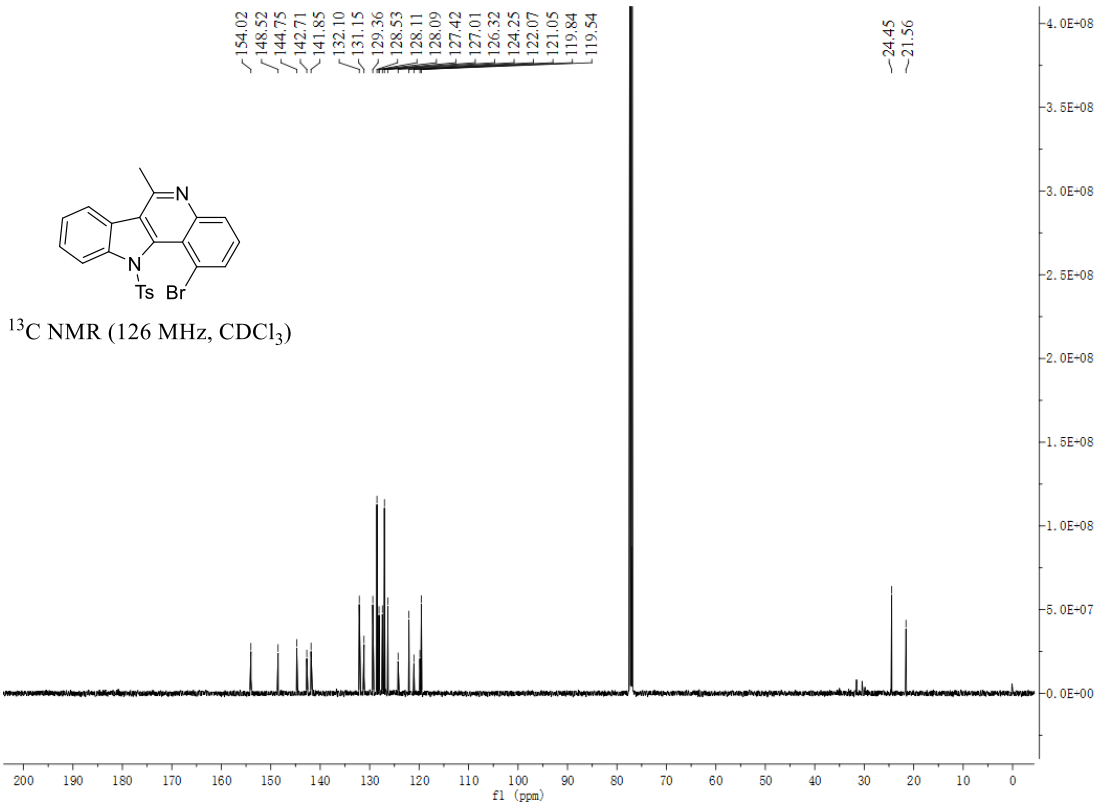


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2l

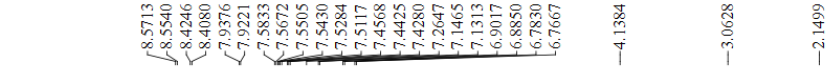


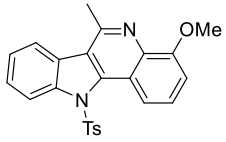
^{1}H NMR (500 MHz, $CDCl_3$)



^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2m





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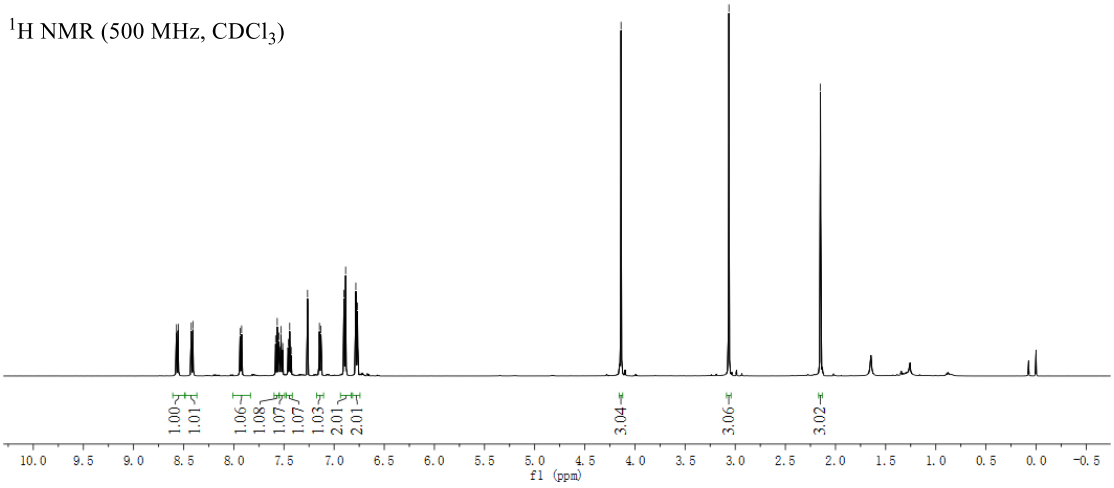

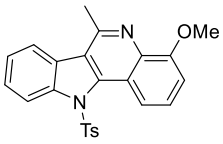

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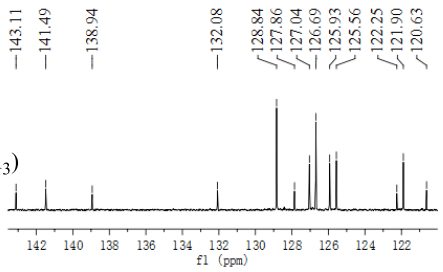
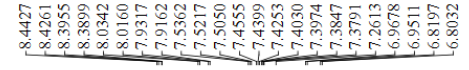
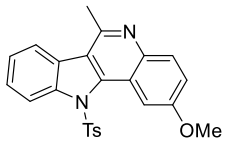
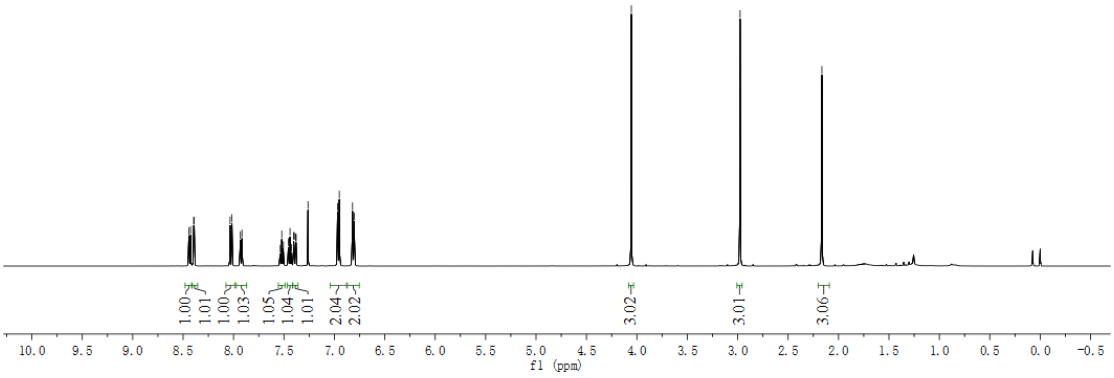


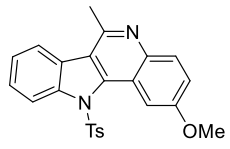
Compound 2m’



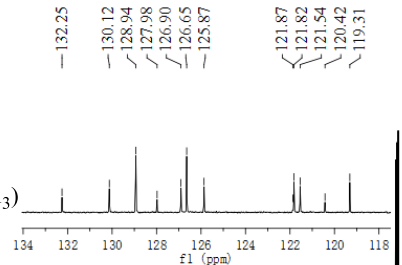


^{1}H NMR (500 MHz, $CDCl_3$)

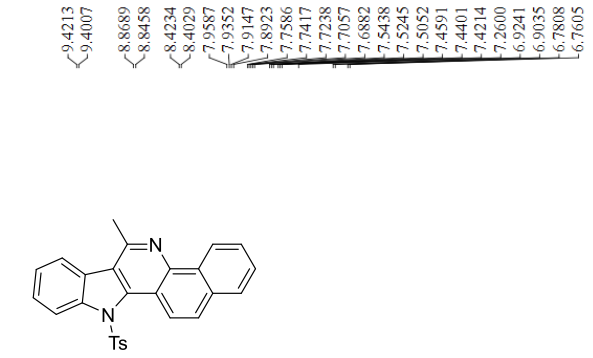




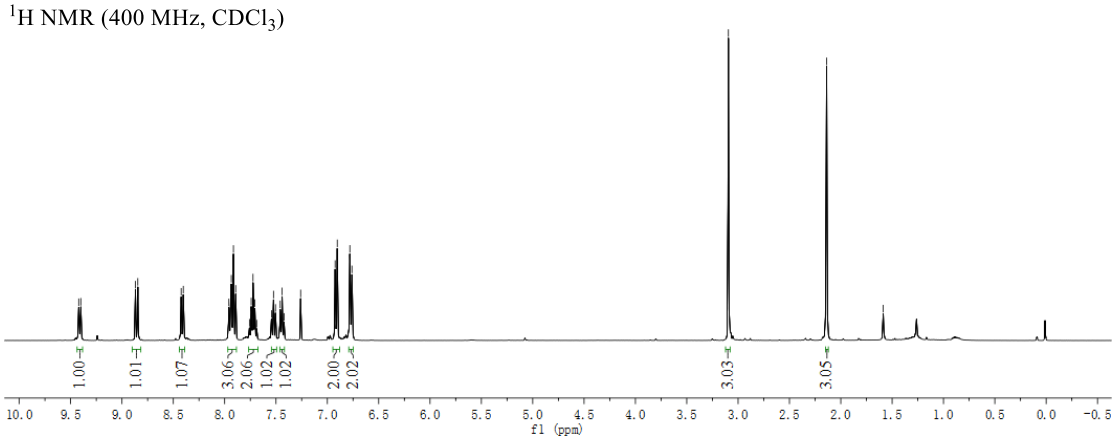
^{13}C NMR (126 MHz, $CDCl_3$)

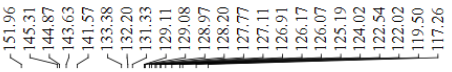


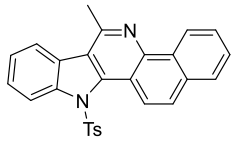
Compound 2n



^{1}H NMR (400 MHz, $CDCl_3$)

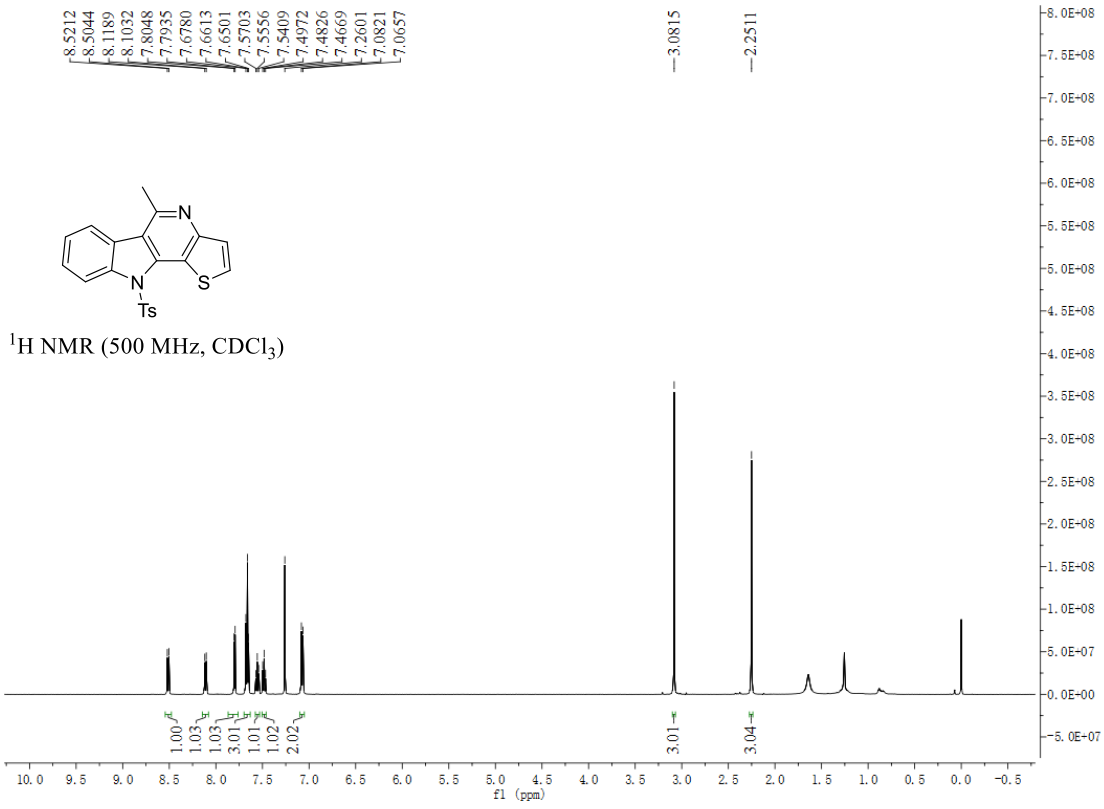




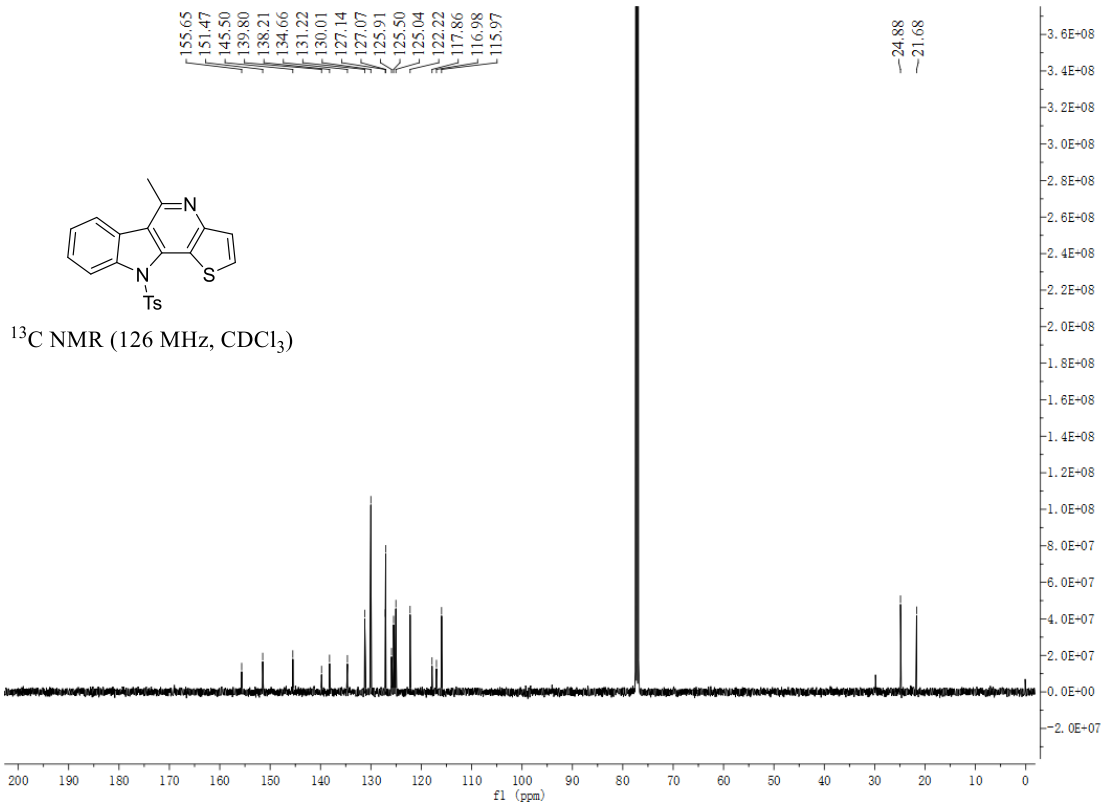


^{13}C NMR (101 MHz, $CDCl_3$)

Compound 2o

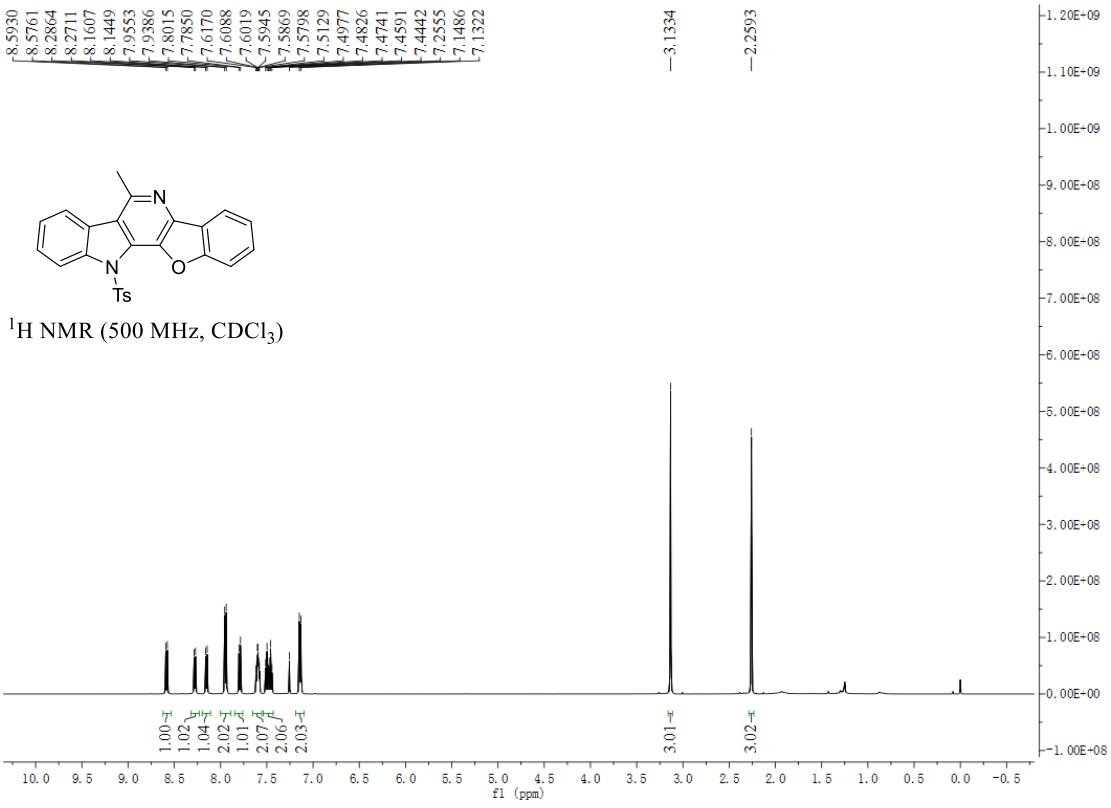


^{1}H NMR (500 MHz, $CDCl_3$)

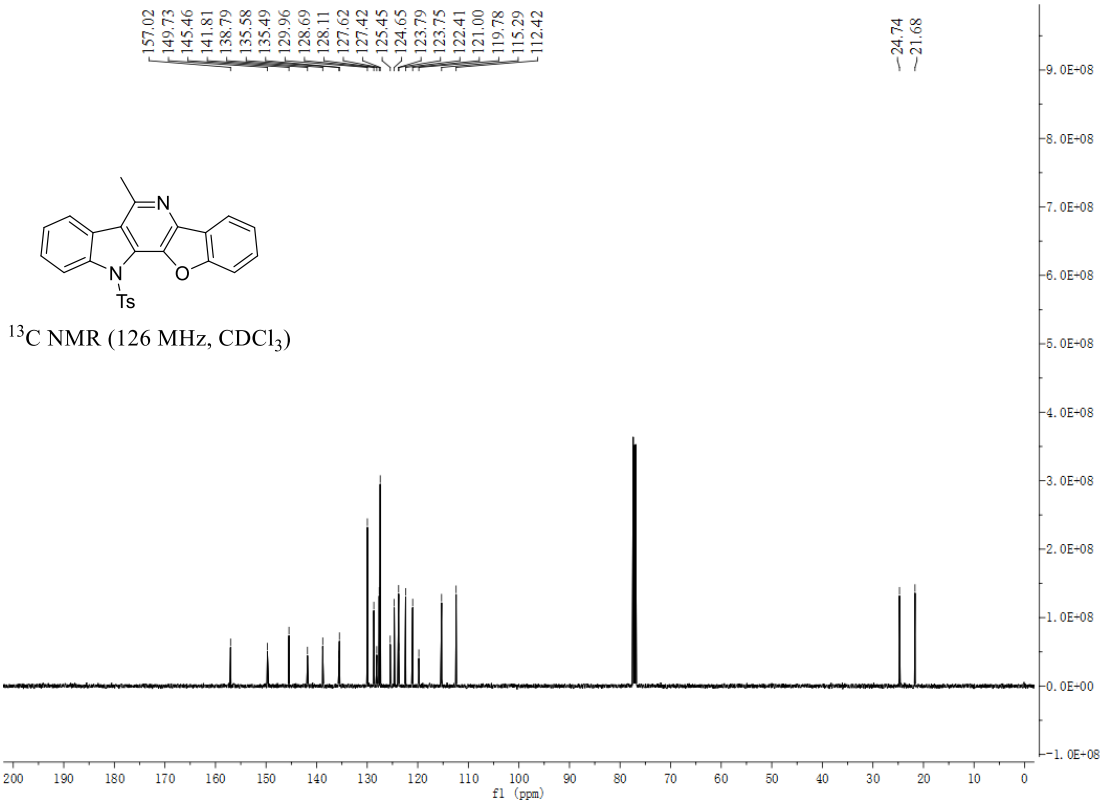


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2p

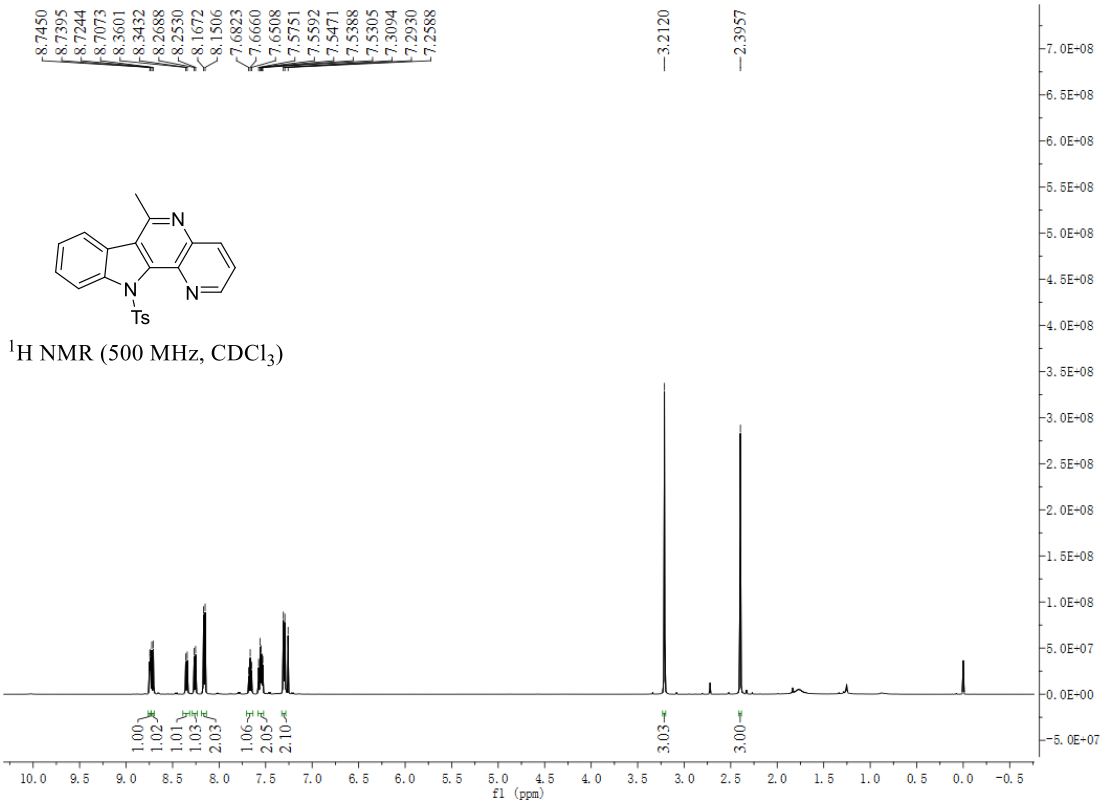


^{1}H NMR (500 MHz, $CDCl_3$)

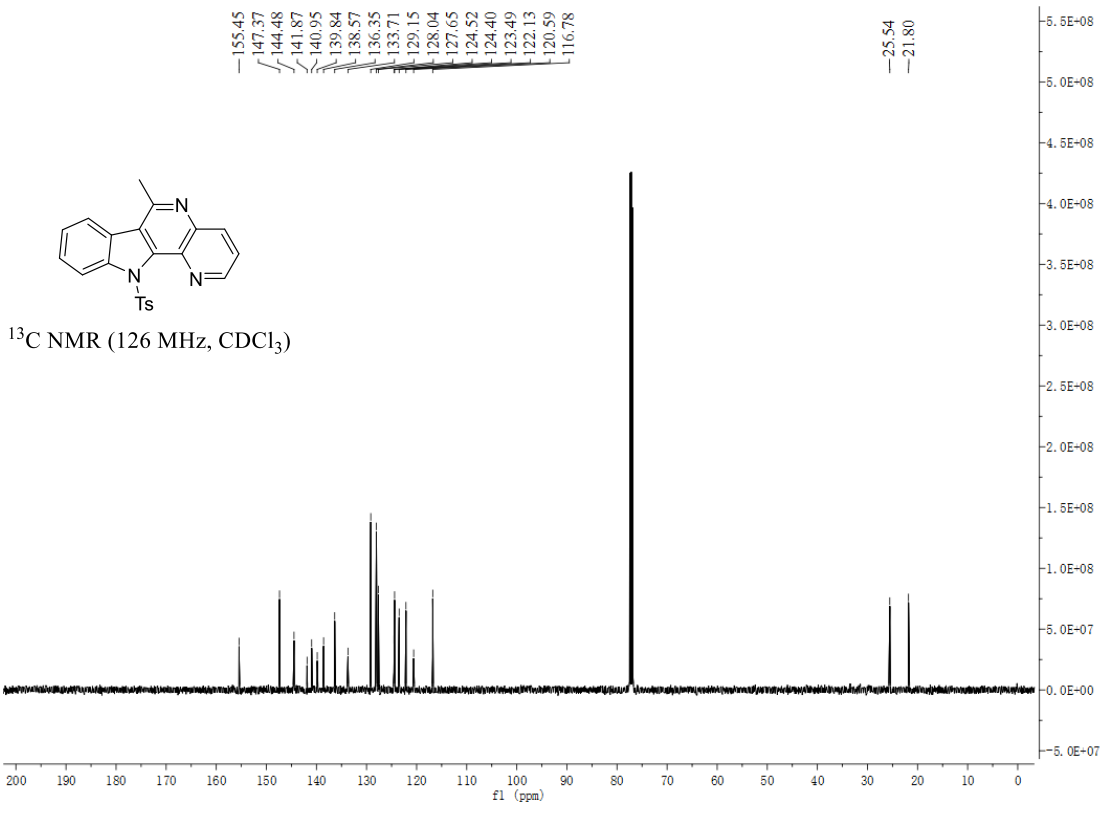


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2q

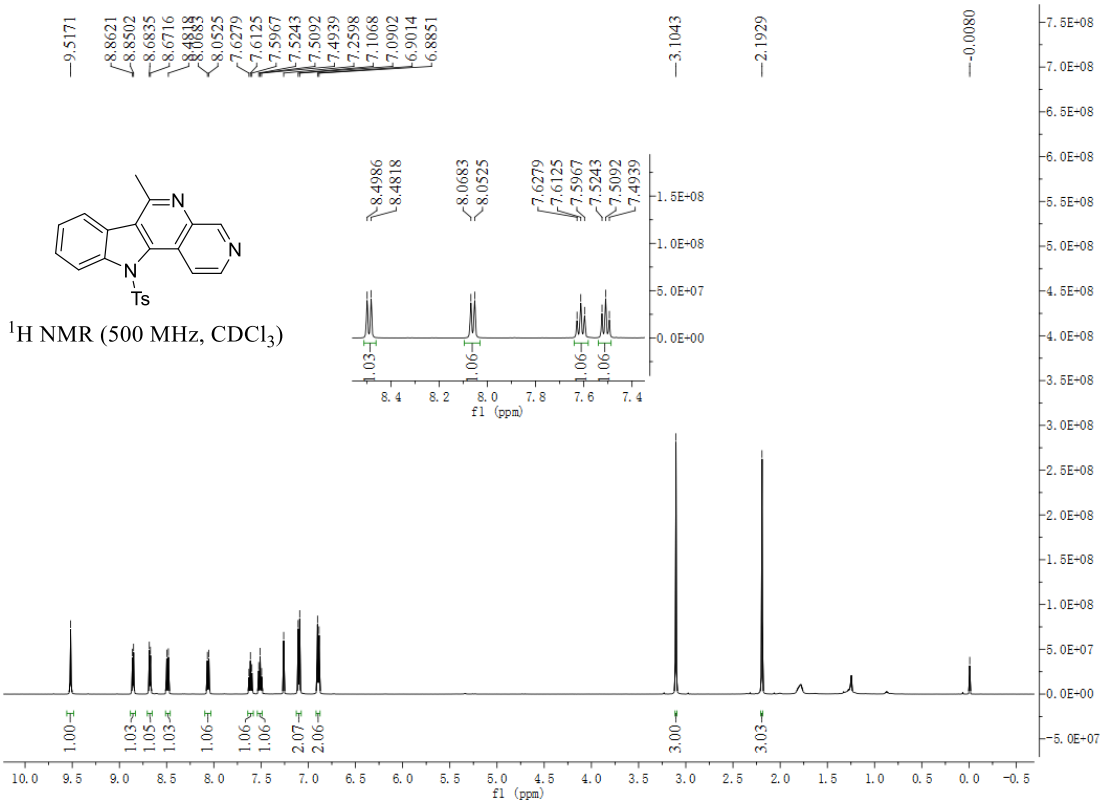


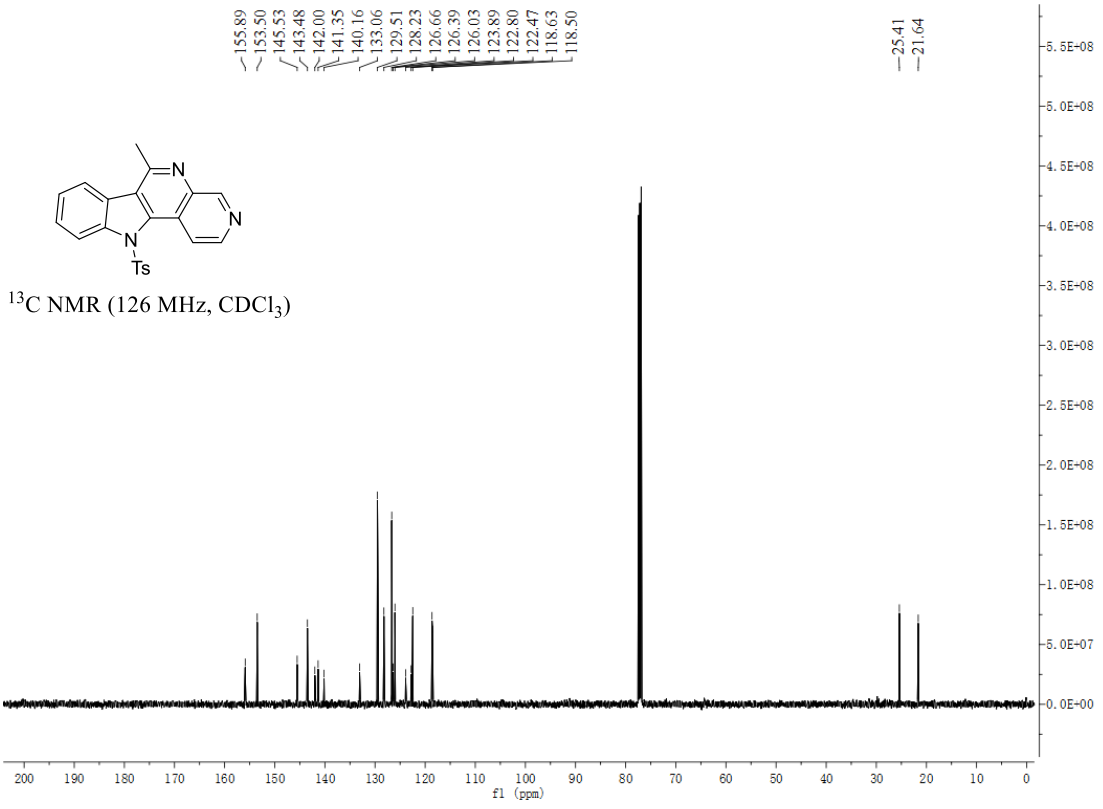
^{1}H NMR (500 MHz, $CDCl_3$)



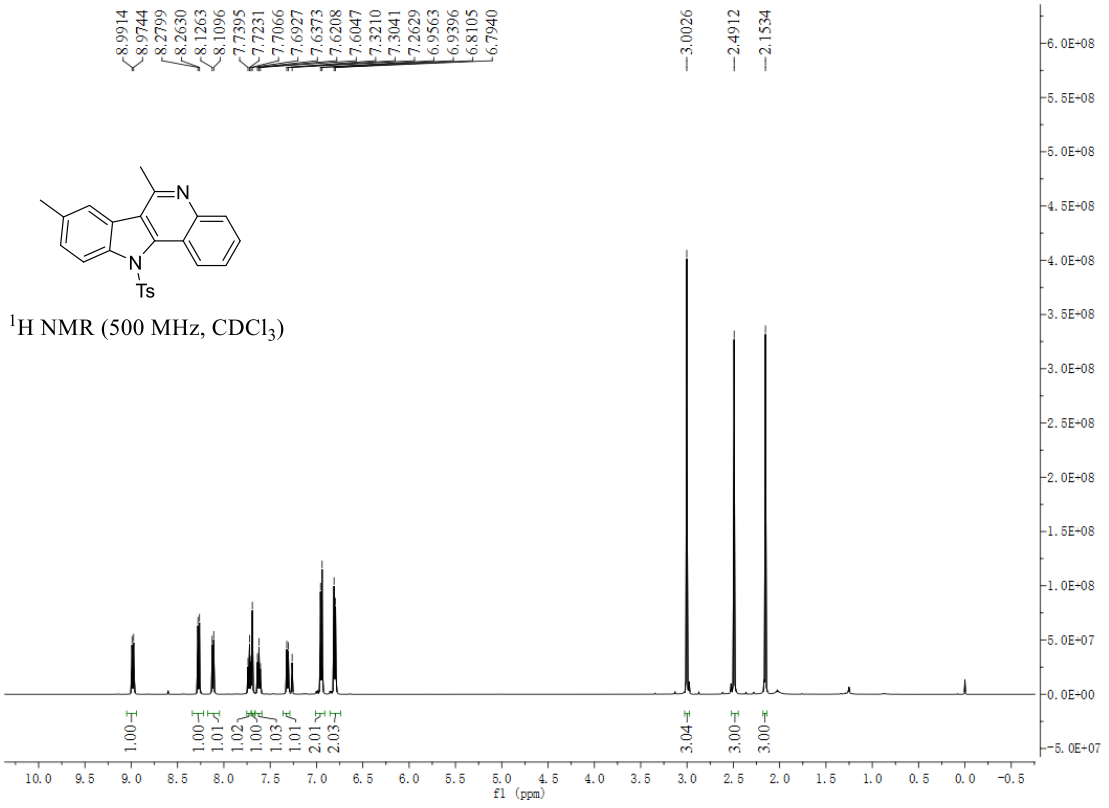
^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2r

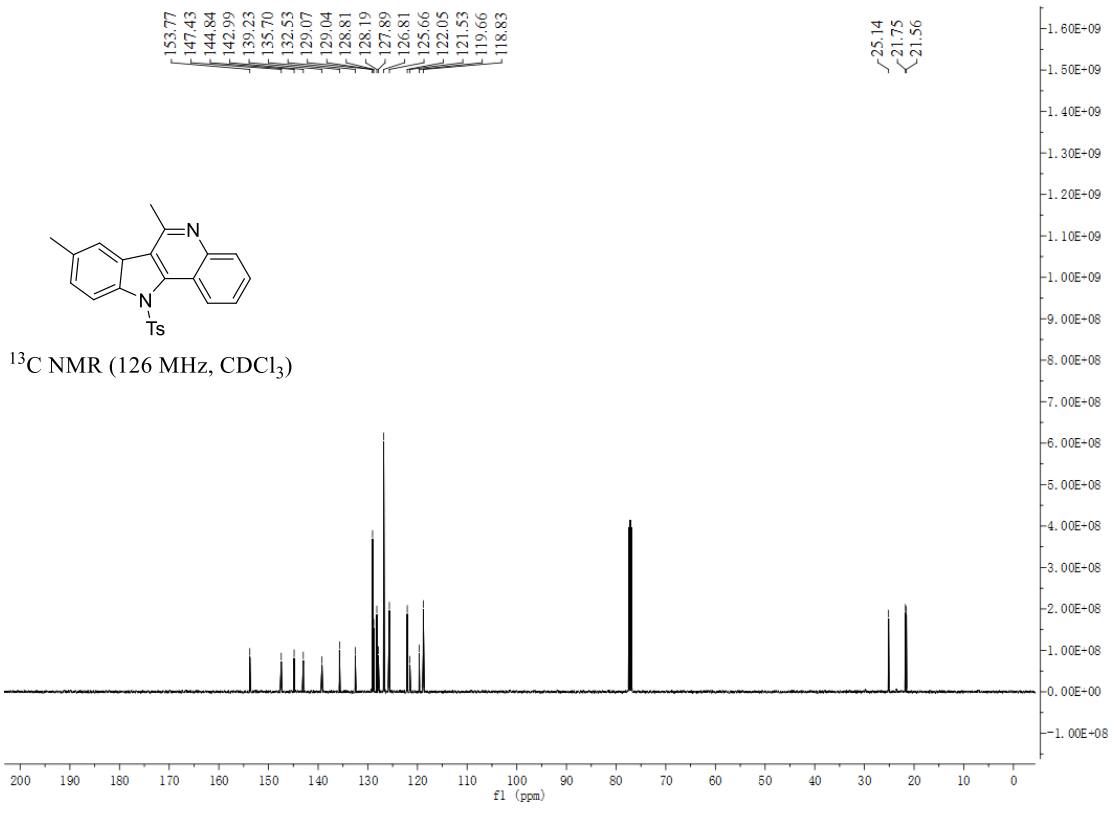

9.5171
8.8621
8.8502
8.6835
8.6716
8.4986
8.4818
8.0683
8.0525
7.6279
7.6125
7.5967
7.5243
7.5092
7.4939
7.2598
7.1068
7.0902
6.9014
6.8851
3.1043
2.1929
0.0080
N
N
Ts
1H NMR (500 MHz, CDCl3)
f1 (ppm)


155.89
153.50
145.53
143.48
142.00
141.35
140.16
133.06
129.51
128.23
126.66
126.39
126.03
123.89
122.80
122.47
118.63
118.50
25.41
21.64
N
N
Ts
13C NMR (126 MHz, CDCl3)
f1 (ppm)

Compound 2s

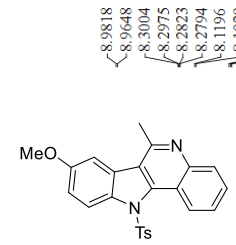


^{1}H NMR (500 MHz, $CDCl_3$)

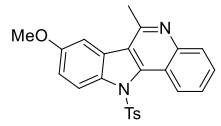


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2t

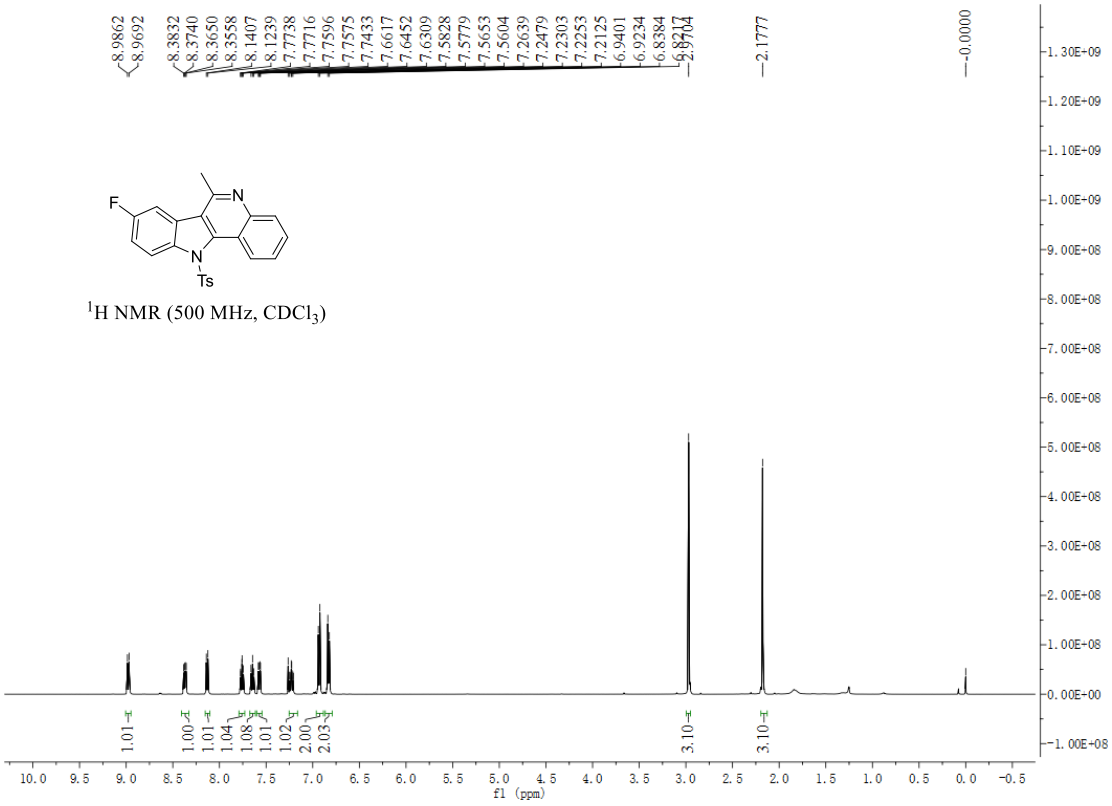


^{1}H NMR (500 MHz, $CDCl_3$)

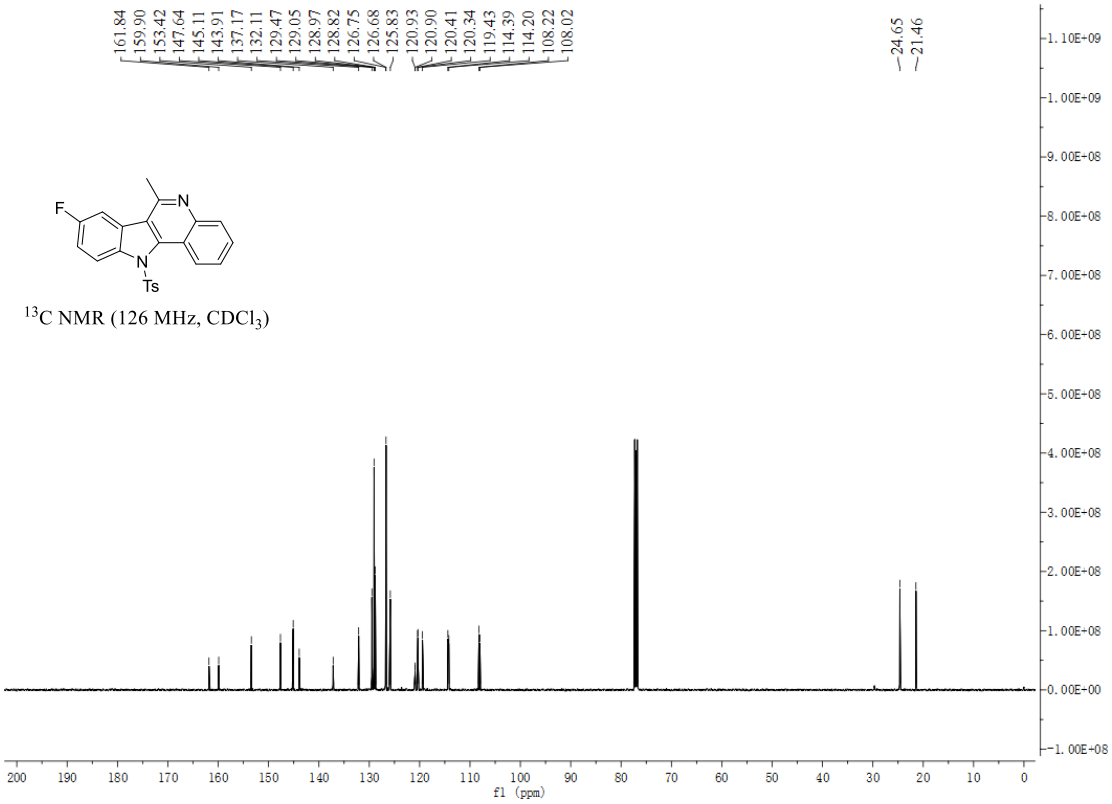


^{13}C NMR (126 MHz, $CDCl_3$)

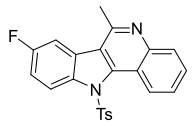
Compound 2u



^{1}H NMR (500 MHz, $CDCl_3$)

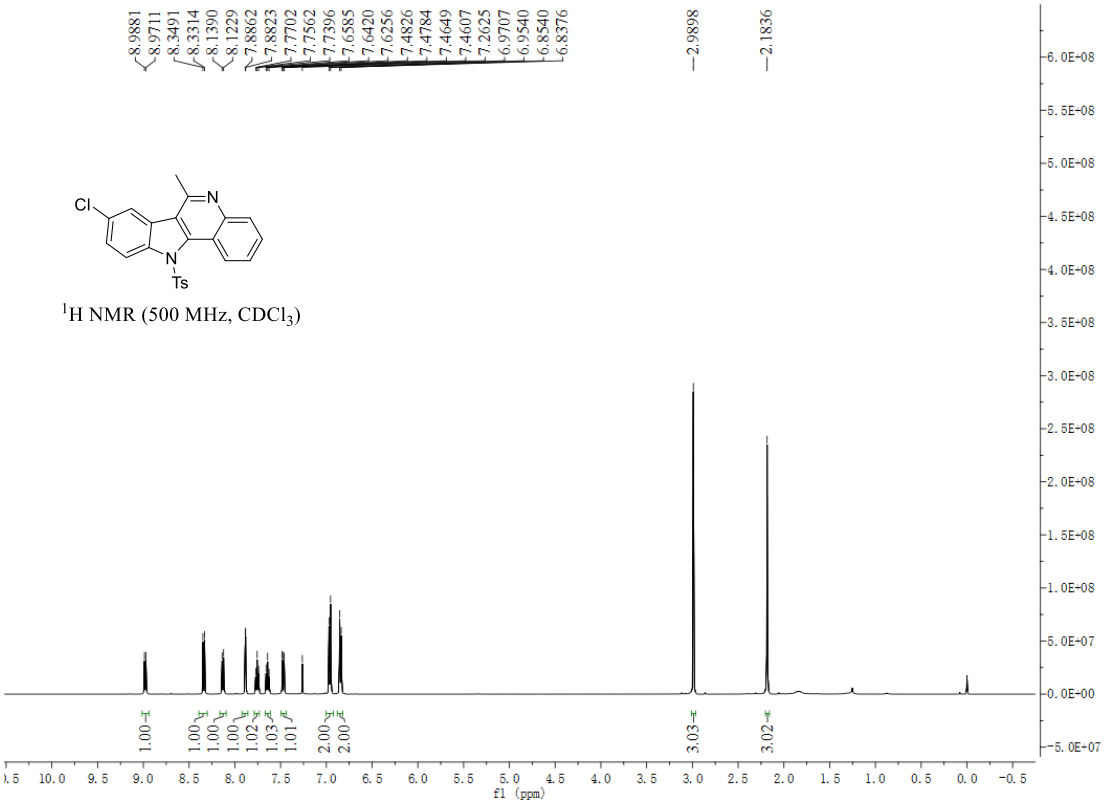


^{13}C NMR (126 MHz, $CDCl_3$)

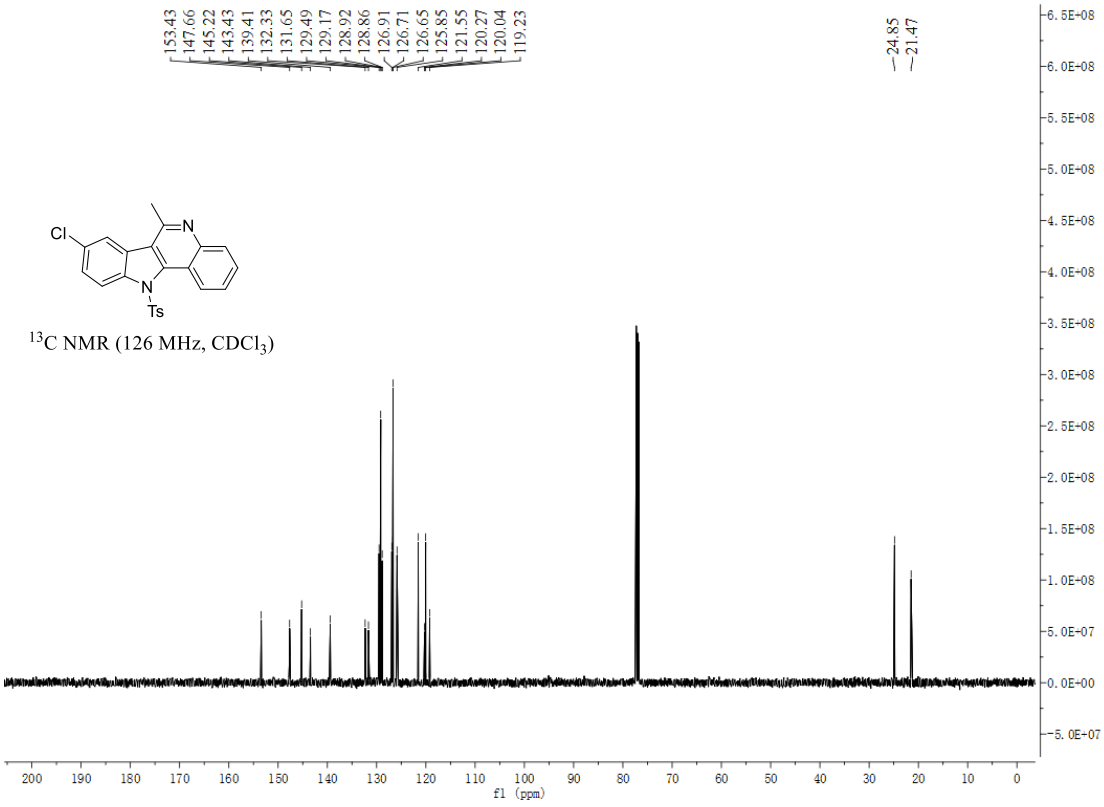


^{19}F NMR (471 MHz, $CDCl_3$)

Compound 2v

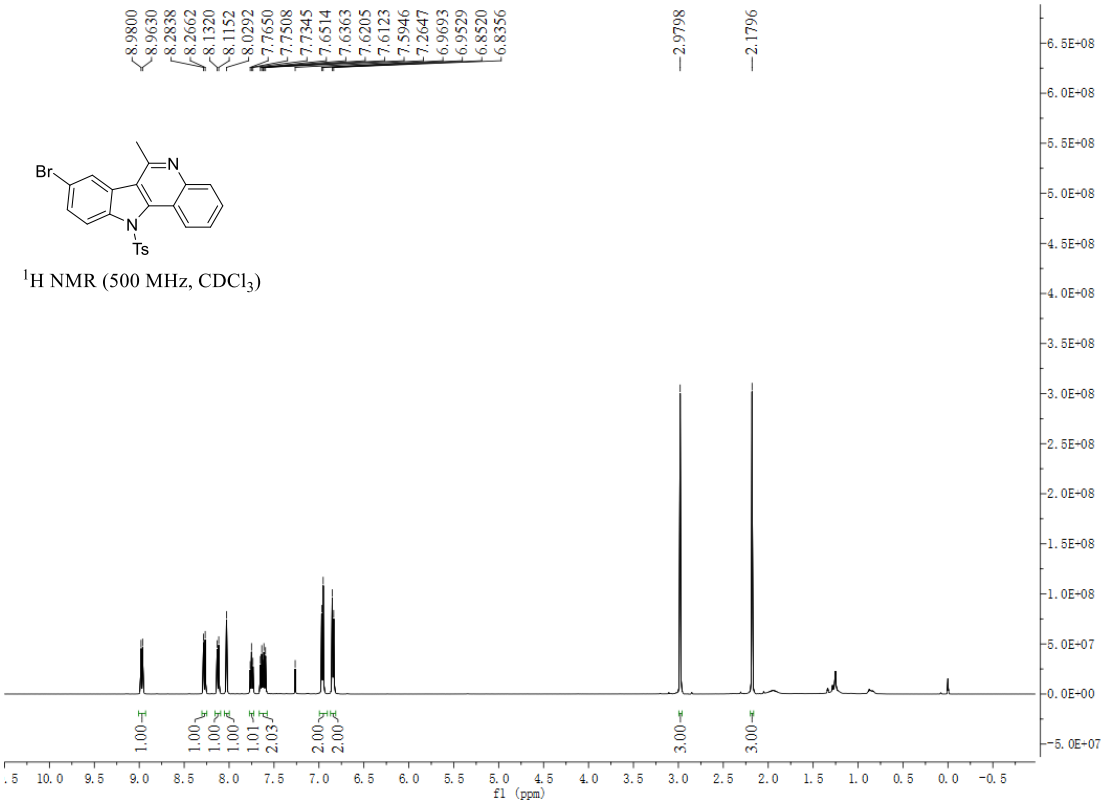


^{1}H NMR (500 MHz, $CDCl_3$)

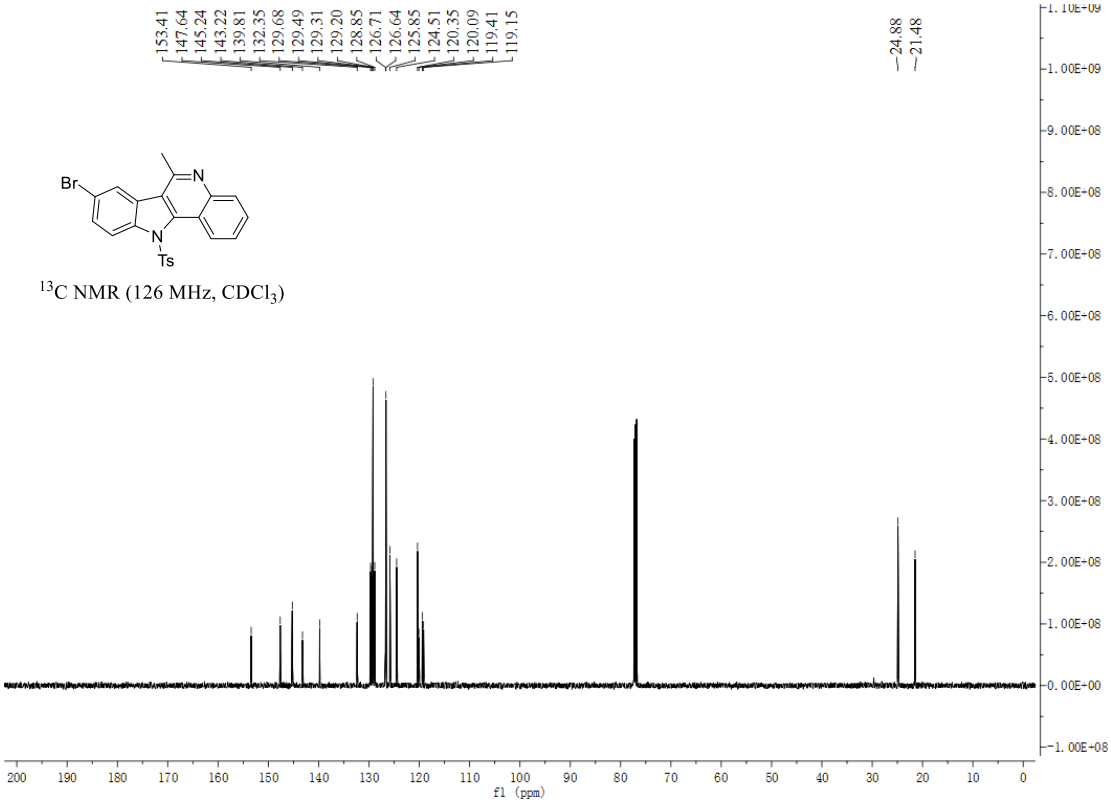


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2w

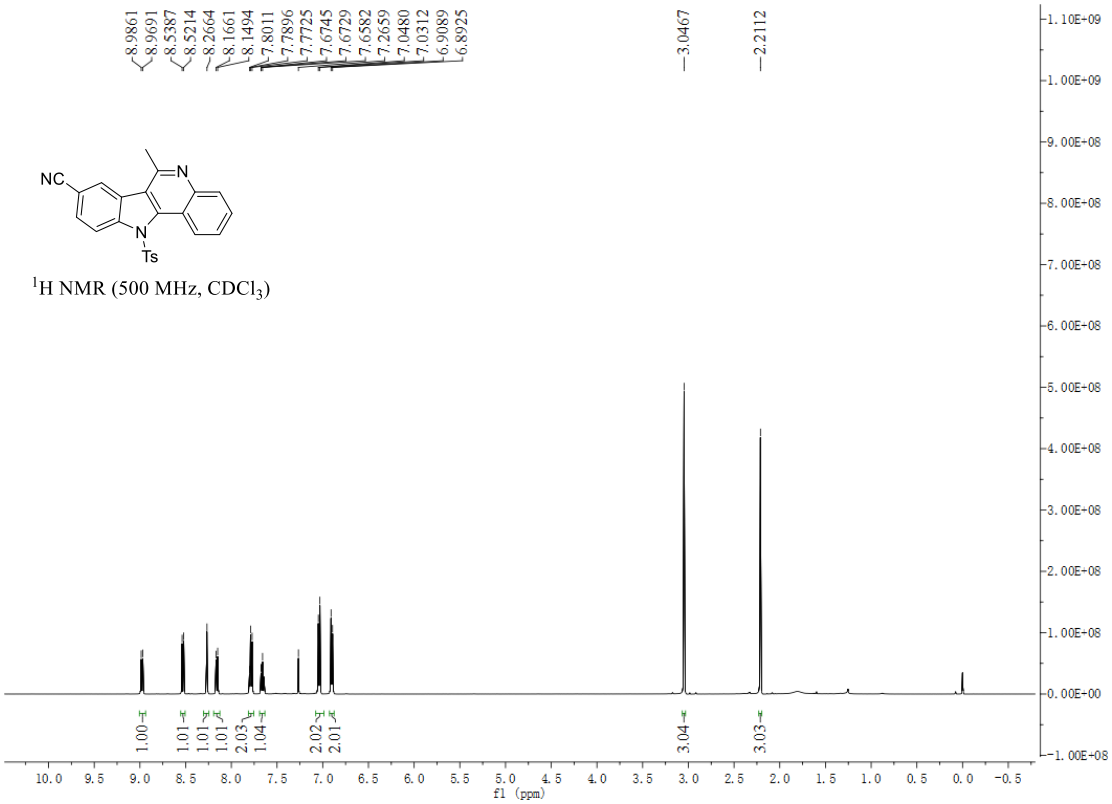


^{1}H NMR (500 MHz, $CDCl_3$)

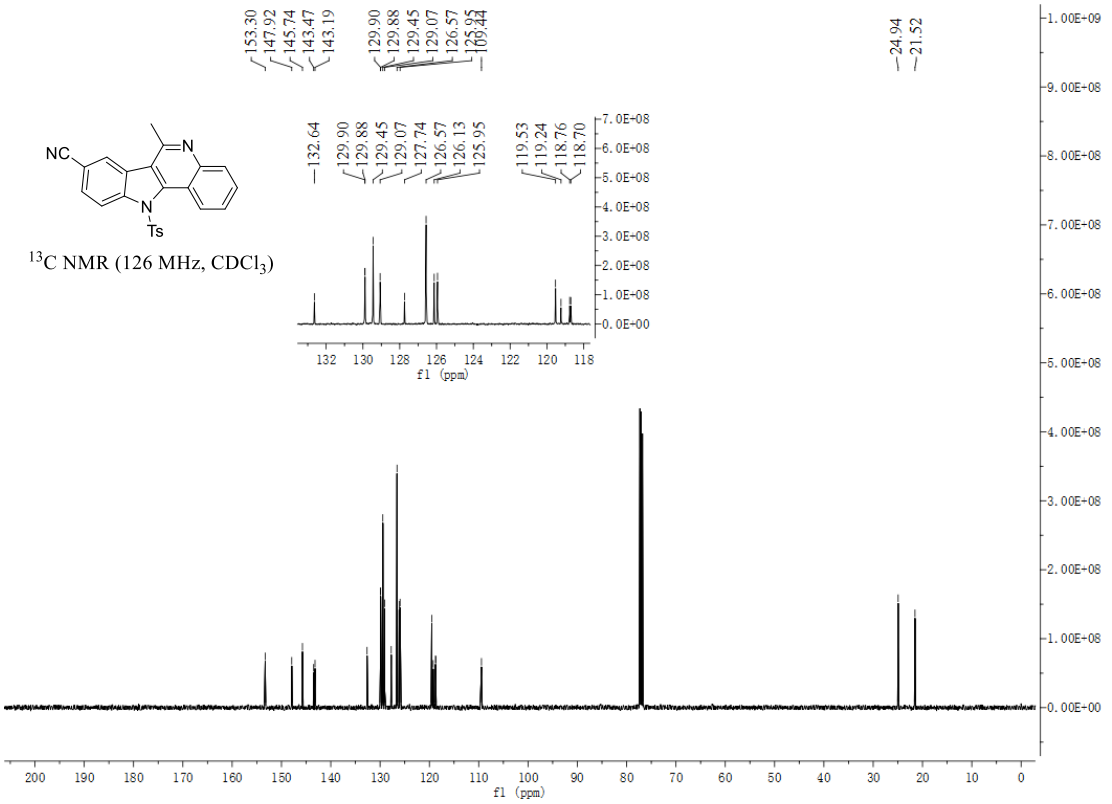


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2x

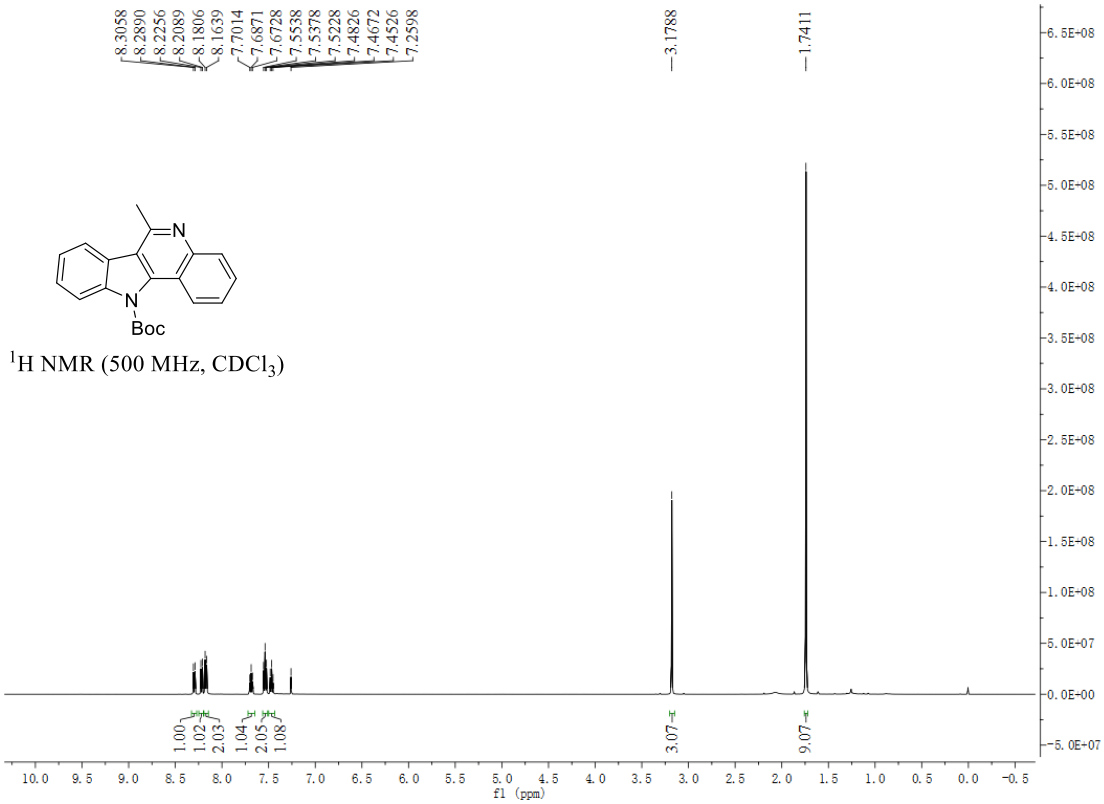


^{1}H NMR (500 MHz, $CDCl_3$)

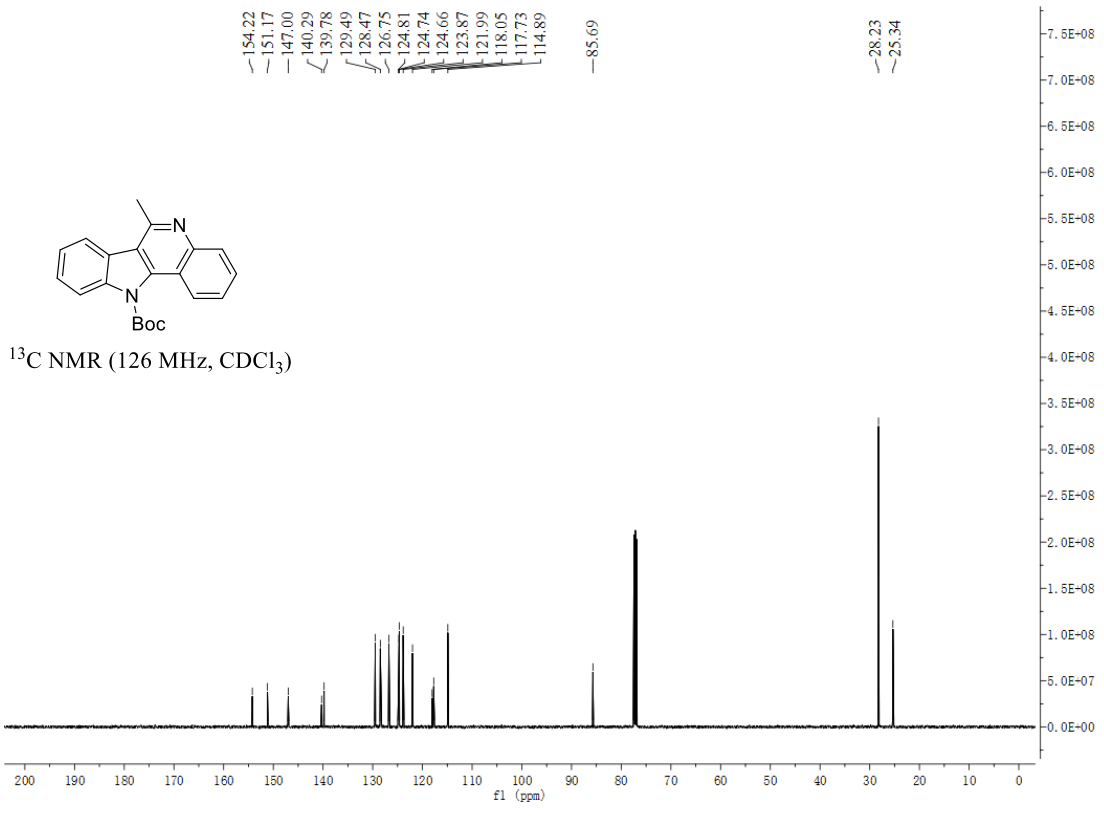


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2ya

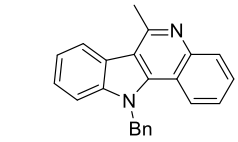


^{1}H NMR (500 MHz, $CDCl_3$)

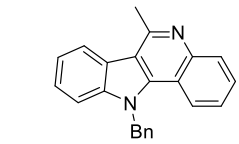


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2yb

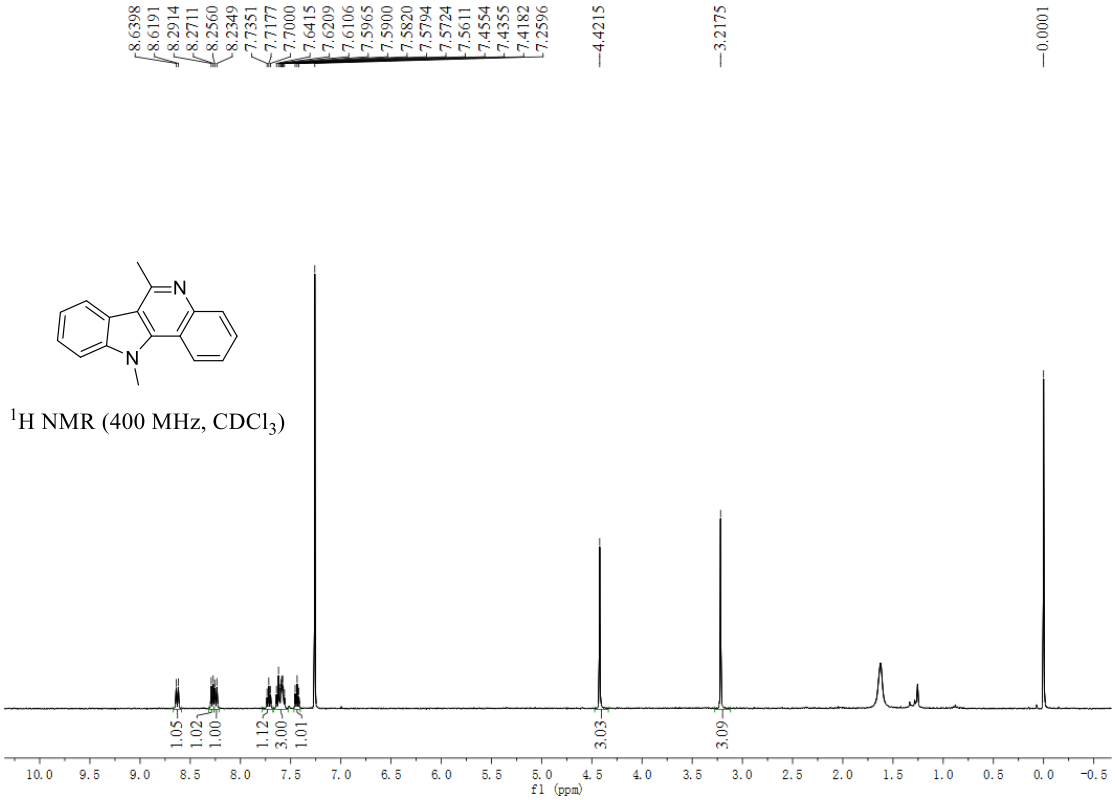


^{1}H NMR (500 MHz, $CDCl_3$)

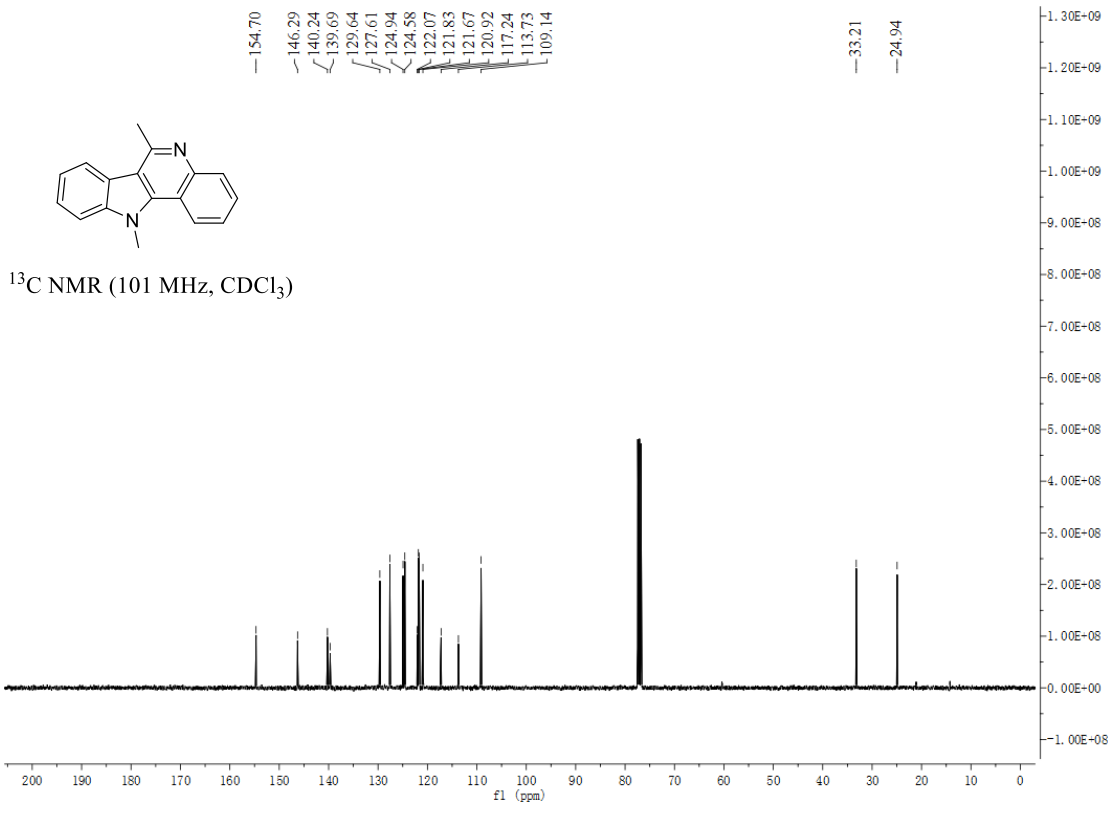


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2yc

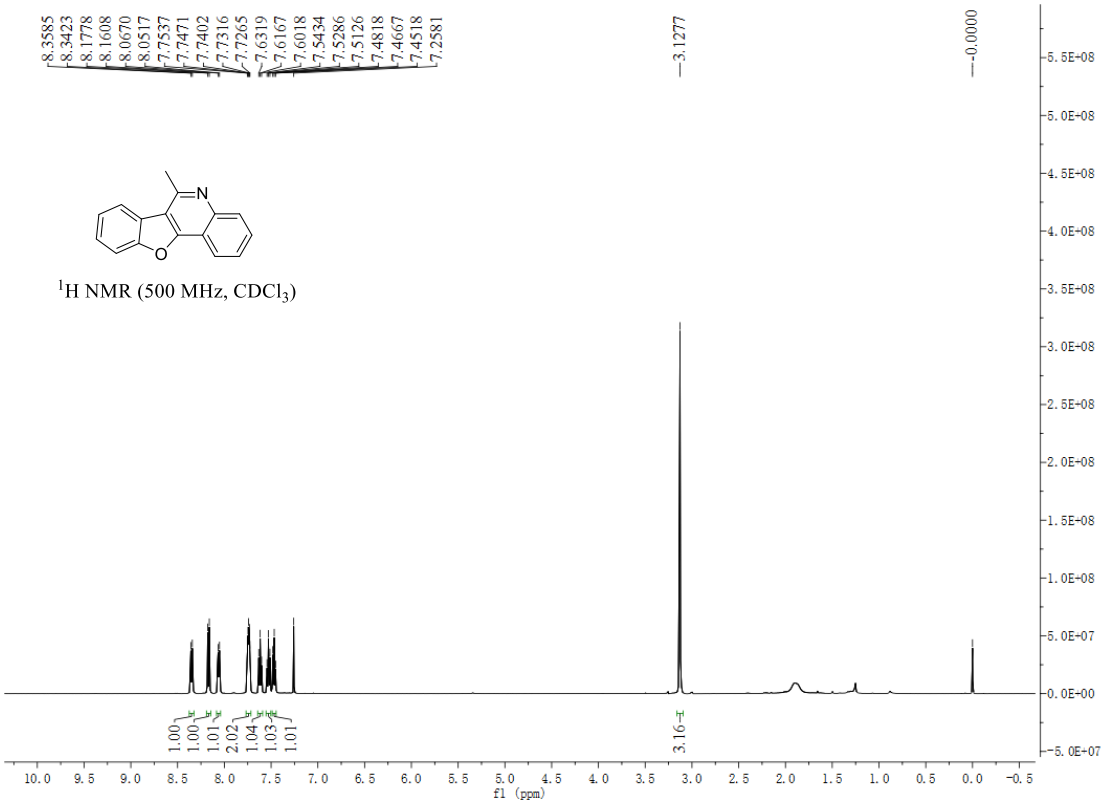


^{1}H NMR (400 MHz, $CDCl_3$)

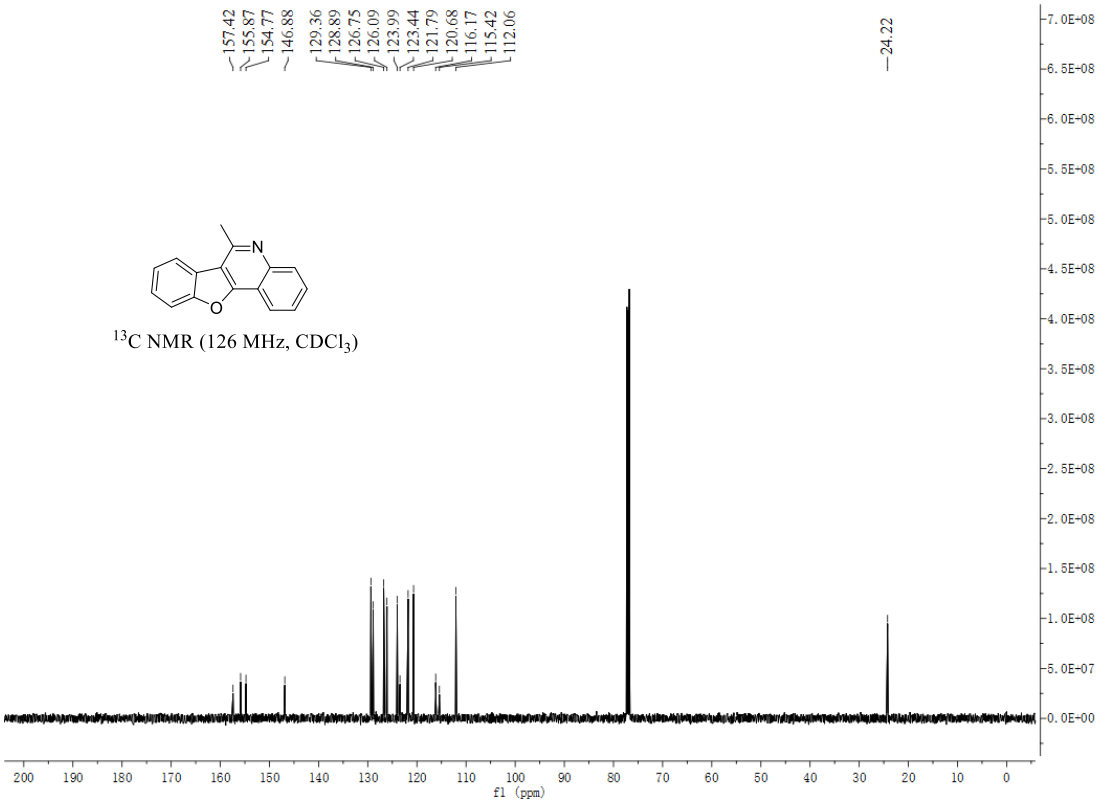


^{13}C NMR (101 MHz, $CDCl_3$)

Compound 2yd

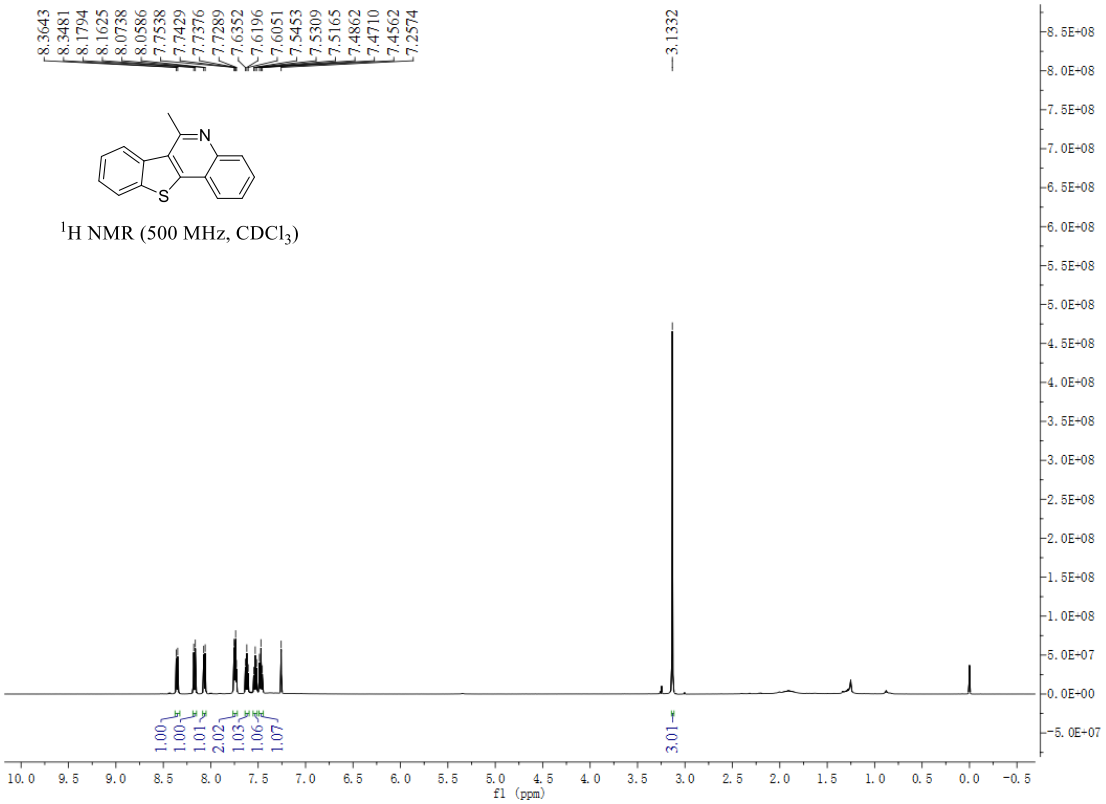


^{1}H NMR (500 MHz, $CDCl_3$)

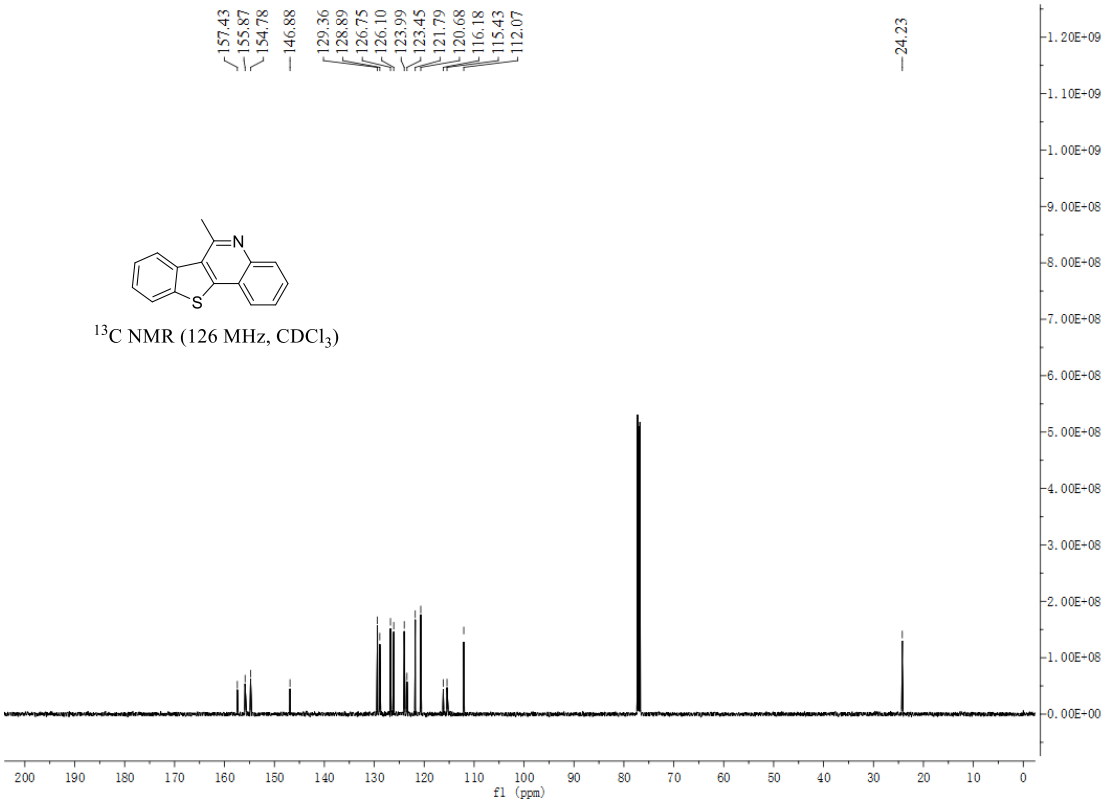


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2ye

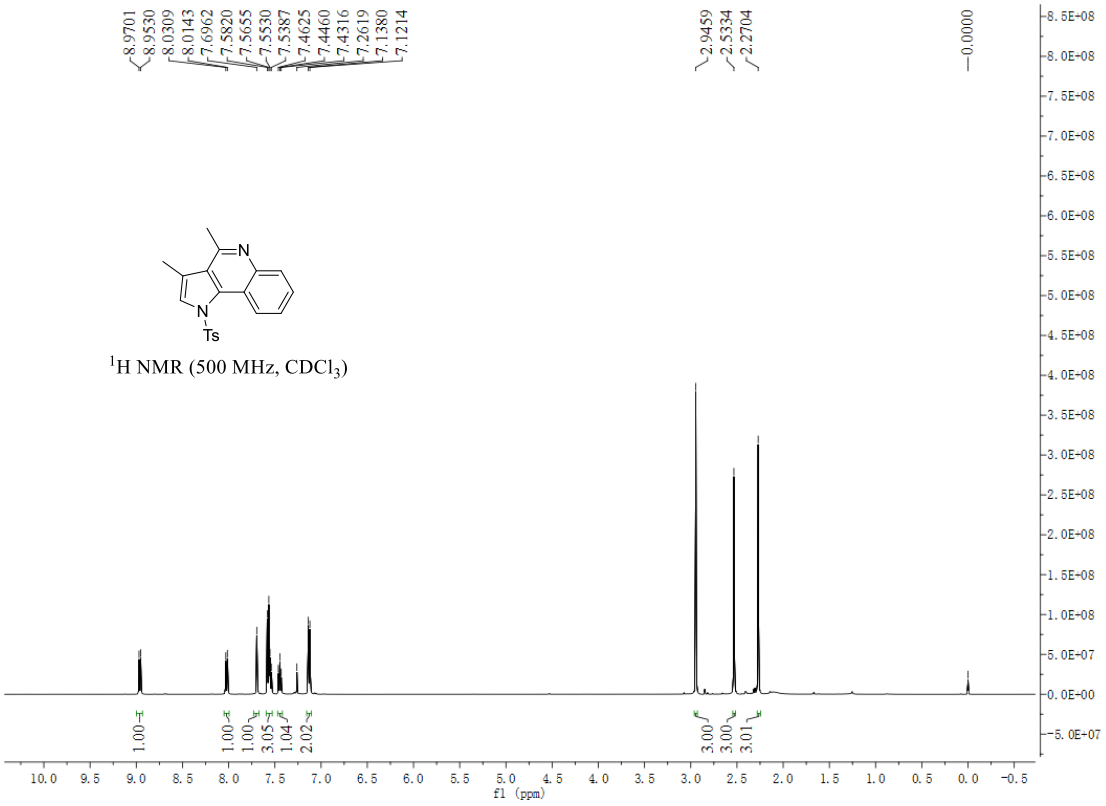


^{1}H NMR (500 MHz, $CDCl_3$)

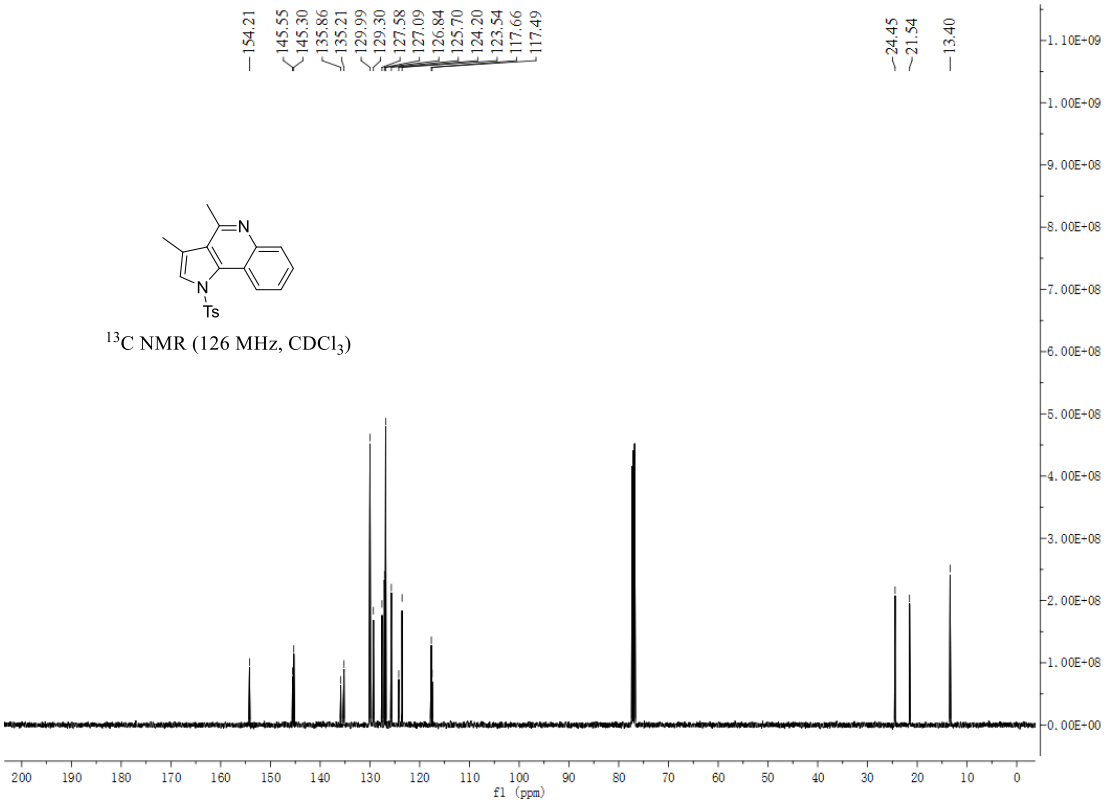


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2yf

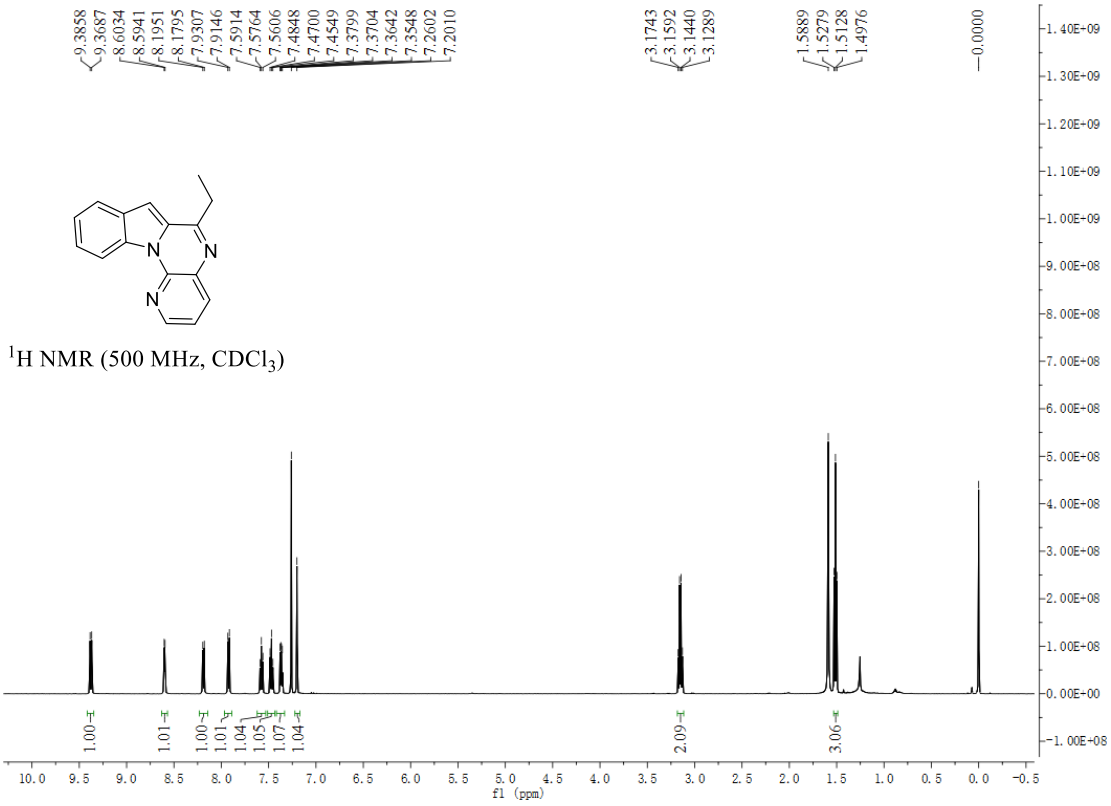


^{1}H NMR (500 MHz, $CDCl_3$)

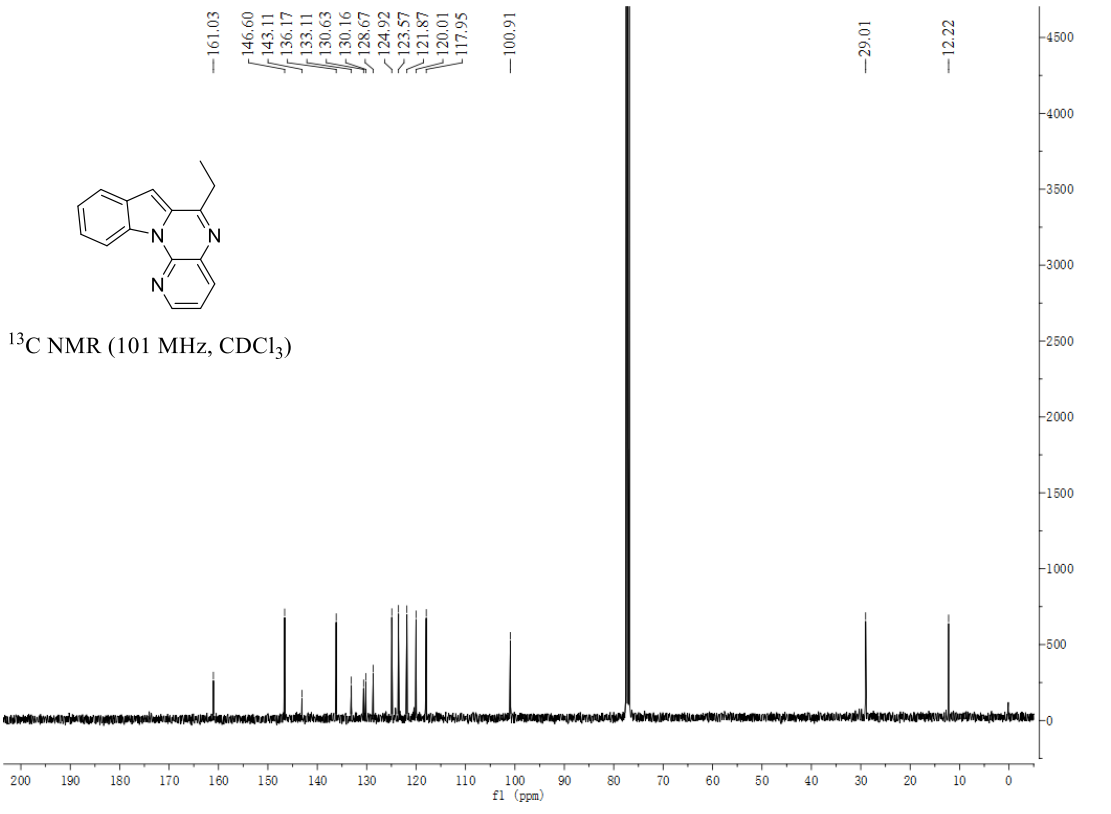


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 2za

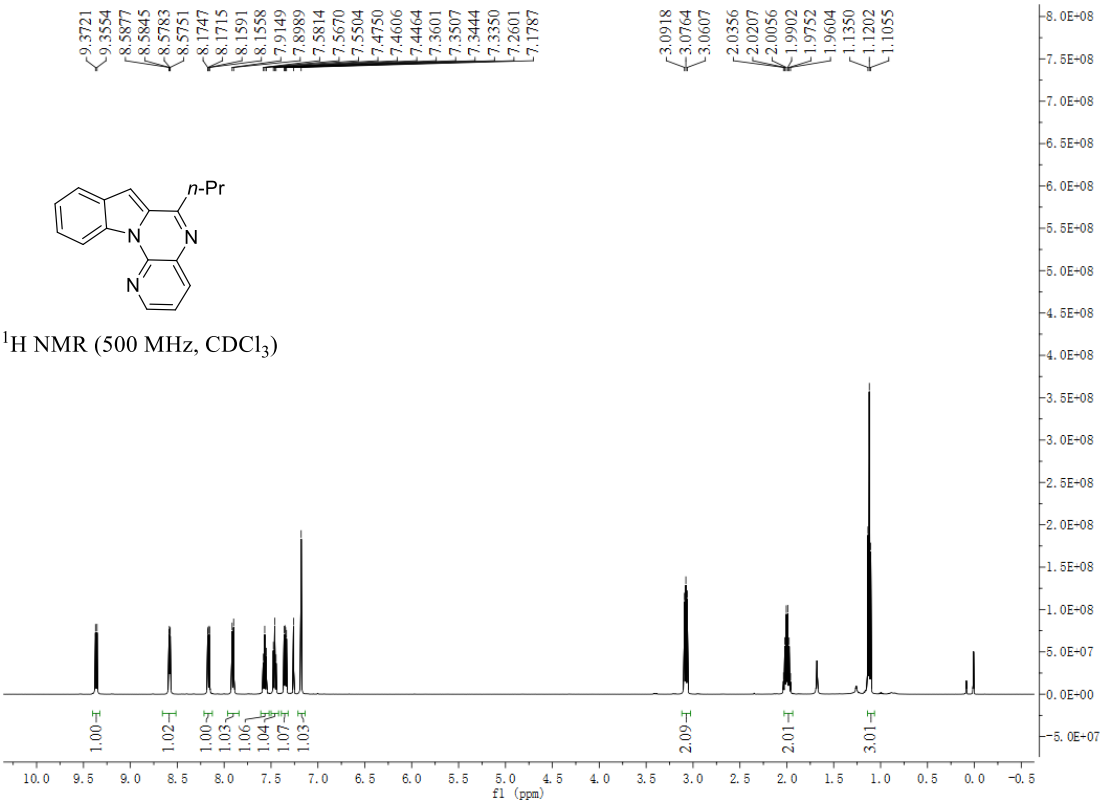


^{1}H NMR (500 MHz, $CDCl_3$)

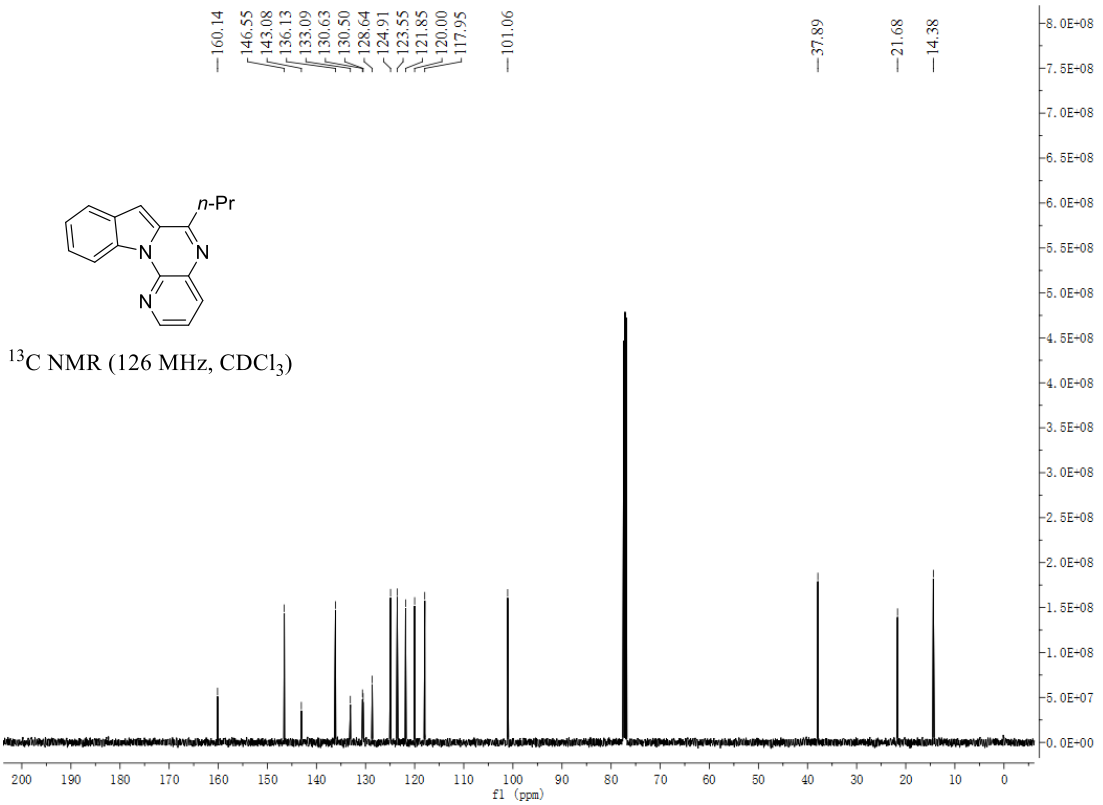


^{13}C NMR (101 MHz, $CDCl_3$)

Compound 2zb

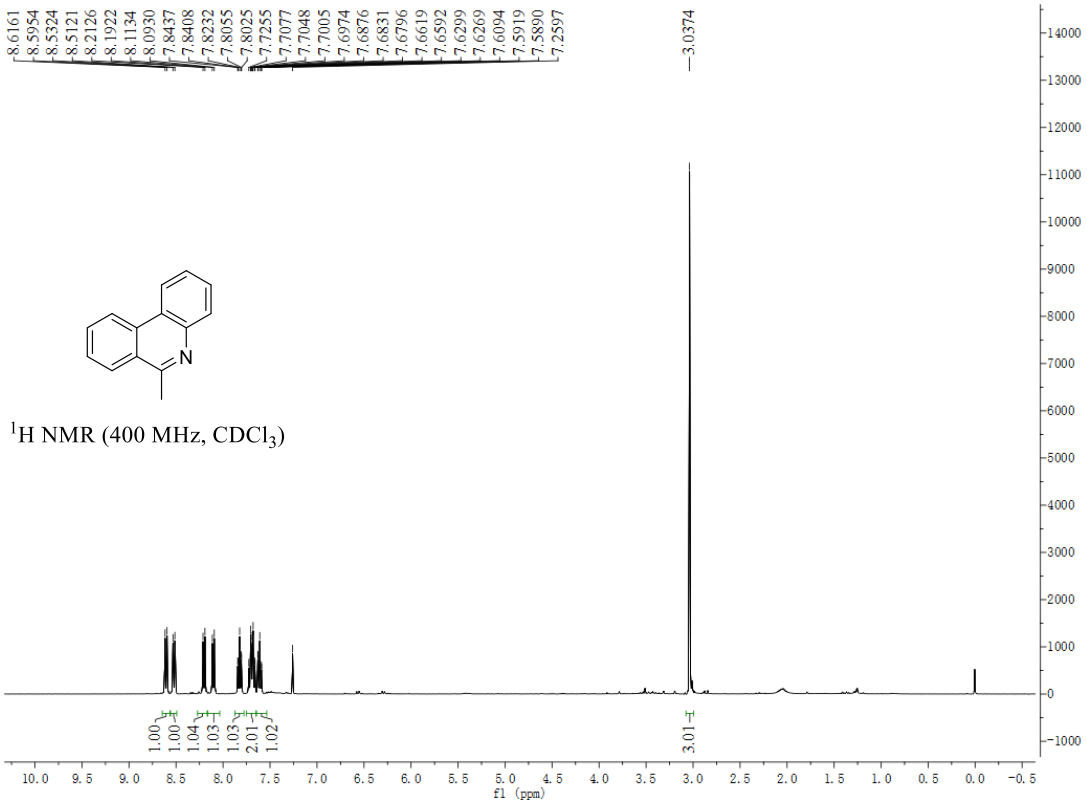


^{1}H NMR (500 MHz, $CDCl_3$)

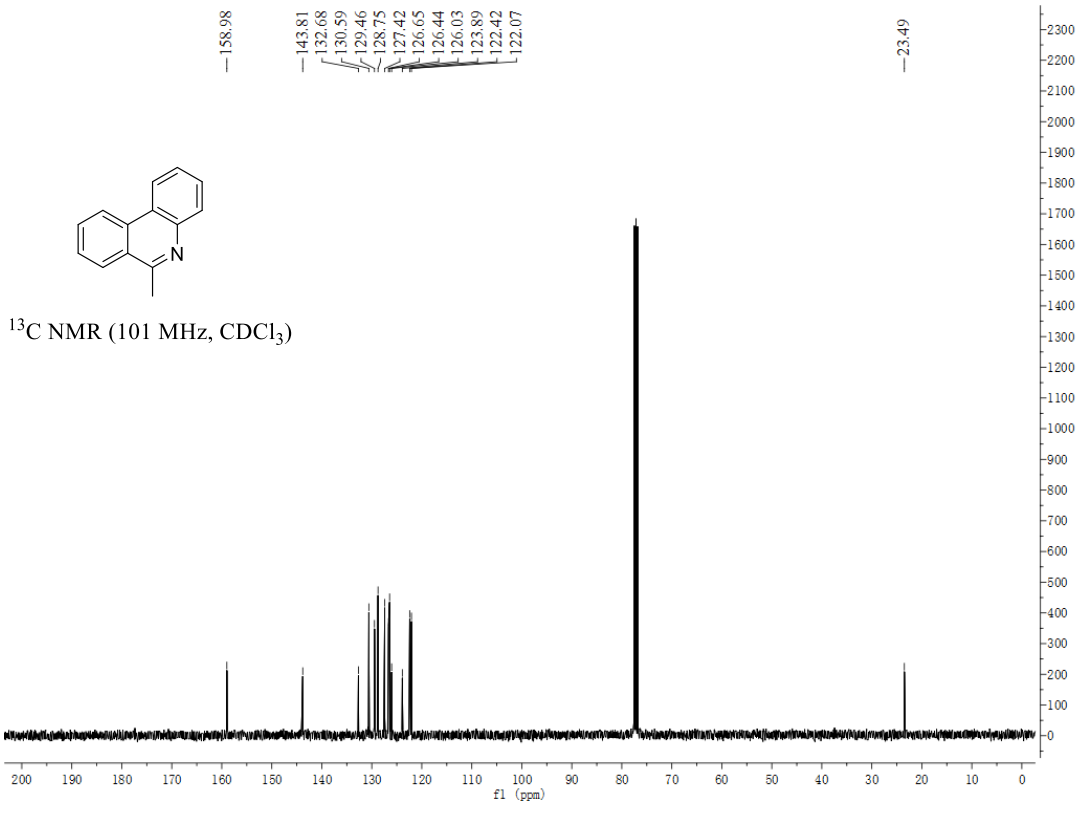


^{13}C NMR (126 MHz, $CDCl_3$)

Compound 4a



^{1}H NMR (400 MHz, $CDCl_3$)



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