Supporting Information

Visible-light-induced photocatalyst-free cascade cyclization of 3-(2-(ethynyl)phenyl)quinazolinones to sulfonated quinolino[2,1-*b*] quinazolinones

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1. General Information

All commercial reagents are used directly after purchase without further purification. Sulfonyl hydrazides were purchased from Shanghai Bide Pharmatech Co. Ltd. The products were purified by column chromatography using 200-300 mesh silica. All the NMR spectra were recorded on Bruker Avance 400 MHz spectrometer. Chemical shifts for ^{1}H NMR spectra were given by tetramethylsilane as the internal standard. High-resolution mass spectrometry (HRMS) was performed on a 3000 mass spectrometer using a Waters Q-Tof MS/MS system with the ESI technique. The photochemical reactions were conducted under a blue LED (10 W, 457 nm). UV-visible absorption was measured using a UV spectrophotometer (Shimadzu, UV-2600).

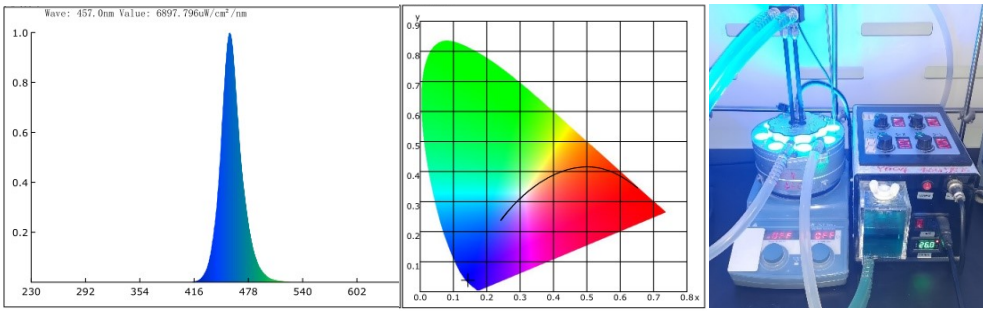
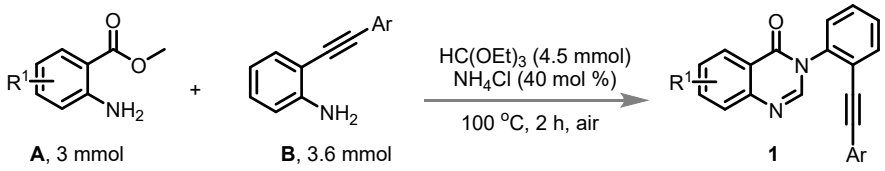


Figure S1 The spectrum of our lamp (blue LED) and the visible-light irradiation instrument

2. Experimental procedures

2.1 Synthesis of the substrates **1**

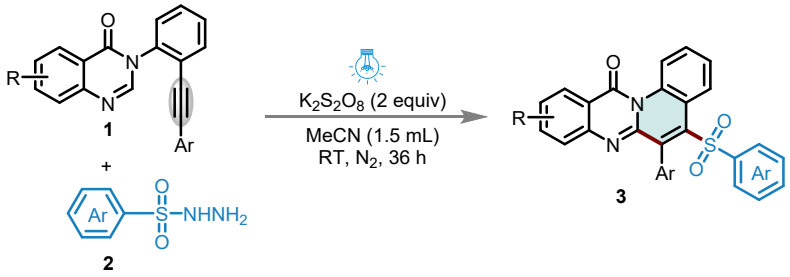
As shown in Scheme S1, the 3-(2-(ethynyl)phenyl)quinazolinones (**1**) were synthesized according to the literature procedure.[1] A mixture of **A** (3 mmol, 1 equiv) and **B** (3.6 mmol, 1.2 equiv), triethyl orthoformate (4.5 mmol, 1.5 equiv), and NH_4Cl (1.2 mmol, 0.4 equiv) were heated with stirring at 100 ^oC in for 2 h. After cooling, 15 mL H_2O was added and the product was extracted with CH_2Cl_2 (3 x 20 mL). The organic layer was dried with anhydrous sodium sulfate, and the solvent was evaporated under a vacuum. All the crude products were purified by silica gel chromatography using petroleum ether (PE) and ethyl acetate (EA) as eluting solvents to give the desired products **1**.



Scheme S1 Synthesis of the substrates **1**

2.2 Synthesis of the products **3**

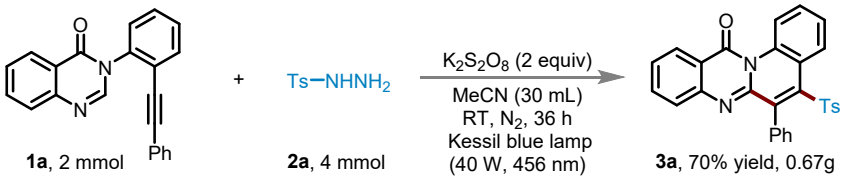
As shown in Scheme S2, the mixture of **1** (0.1 mmol, 1 equiv), **2** (0.2 mmol, 2 equiv), $K_2S_2O_8$ (0.2 mmol, 54 mg), and MeCN (1.5 mL) were sequentially added into a 25 mL Schlenk tube. Then the reaction tube was exposed to the irradiation of a 10 W blue LED at room temperature in an N_2 atmosphere for 36 h. After the reaction, the solvent was evaporated under vacuum, and all the crude products were purified by flash column chromatography using petroleum ether (PE) and ethyl acetate (EA) as the eluent to afford the target products **3**.



Scheme S2 Synthesis of the products **3**

2.3 Gram-scale reaction

The gram-scale synthesis as shown in Scheme S3, the mixture of **1a** (2mmol, 1 equiv), **2a** (4 mol, 2 equiv.), $K_2S_2O_8$ (4 mol, 2 equiv), and MeCN (30 mL) were sequentially added into a 100 mL tube. Then the reaction tube was exposed to the irradiation of a 40 W Kessil blue lamp (456 nm) at room temperature in an N_2 atmosphere for 36 h. After the reaction, the solvent was evaporated under vacuum, and all the crude products were purified by flash column chromatography using petroleum ether (PE) and ethyl acetate (EA) as the eluent to afford the target products **3a** (70% yield, 0.67 g).

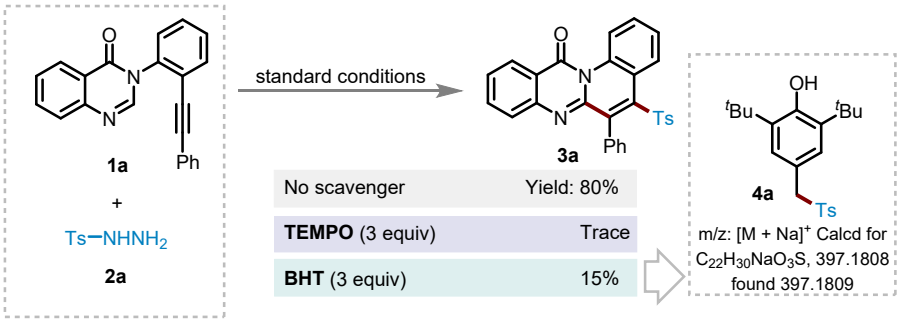


Scheme S3 Gram-scale reaction of **3a**

2.4 The control experiments

The control experiments as shown in Scheme S4, the mixture of **1a** (0.1 mmol, 1 equiv), **2a** (0.2 mmol, 2 equiv), $K_2S_2O_8$ (0.2 mmol, 54 mg), 2,2,6,6-tetramethylpiperidin-1-yl-oxidanyl (TEMPO) (0.3 mmol, 3 equiv) or 2,6-di-tert-butyl-4-methylphenol (BHT) (0.3 mmol, 3 equiv) and MeCN (1.5 mL) were sequentially added into a 25 mL Schlenk tube. Then the reaction tube was exposed to the irradiation of a 10 W blue LED at room temperature in an N_2 atmosphere for 36 h. When TEMPO was

added, trace product generation was monitored by TLC. When BHT was added, the product was obtained by flash column chromatography using PE and EA as the eluent to afford the target product **3a** (15% yield). Meanwhile, the reaction solutions of both reactions were examined for their intermediates by HRMS.



Scheme S4 The control experiments

2.5 HRMS data of control experiments

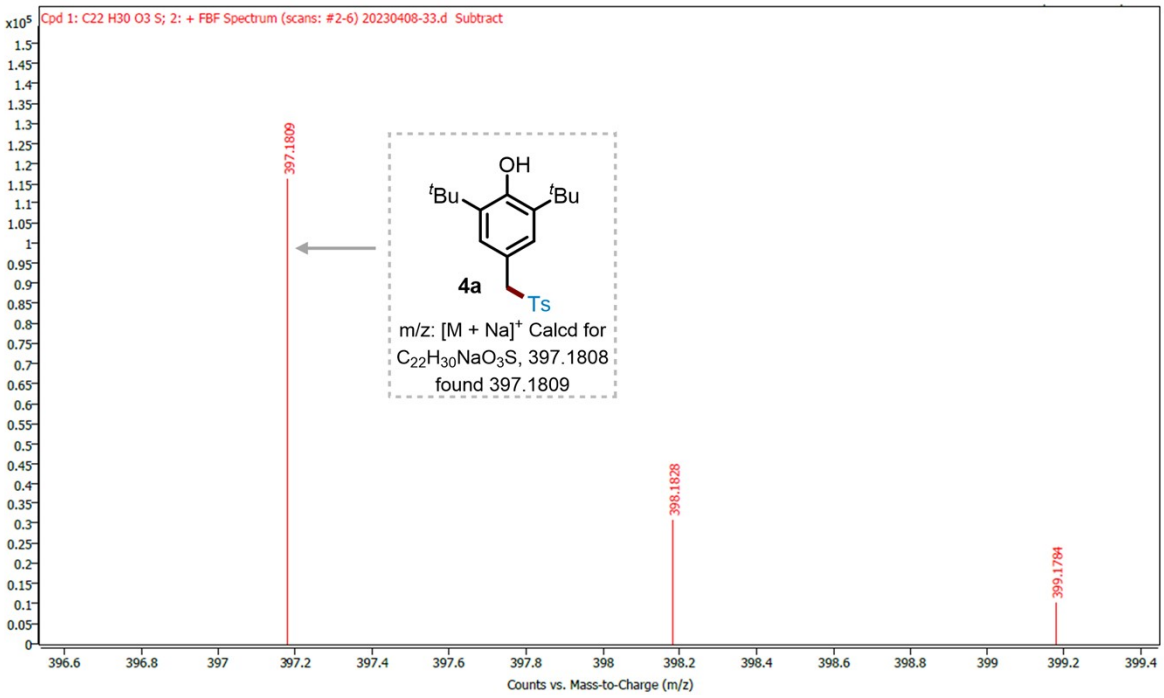


Figure S2 HRMS data analysis of **4a**

2.6 The UV-Vis experiment of $K_2S_2O_8$.

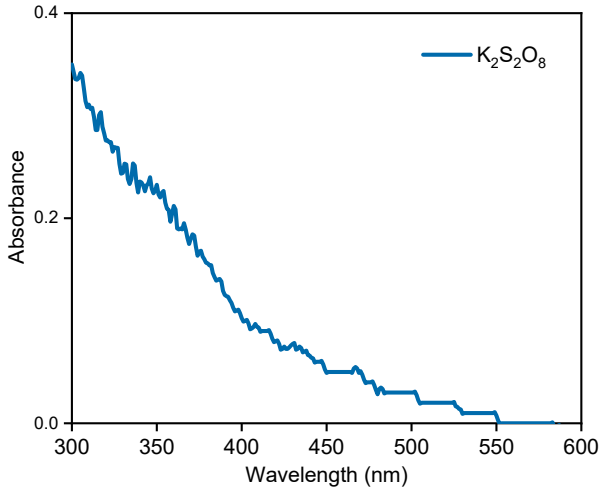


Figure S3 Absorption spectra of $K_2S_2O_8$ (0.1 mg/mL, CH_3CN/H_2O (v/v) = 9:1).

2.7 The EPR experiments.

The electron paramagnetic resonance (EPR) experiments were performed (Figure S3). When the radical spin trapping reagent 5,5-dimethyl-1-pyrroline *N*-oxide (DMPO) was added to the $K_2S_2O_8$ solution under nitrogen atmosphere (Degassing by liquid nitrogen freezing) at room temperature, a signal was observed under blue LED irradiation for 0.5 h. However, the reaction system has no obvious signal before blue LED irradiation. It is suggested that the reaction generates sulfate radical anion under blue LED irradiation.

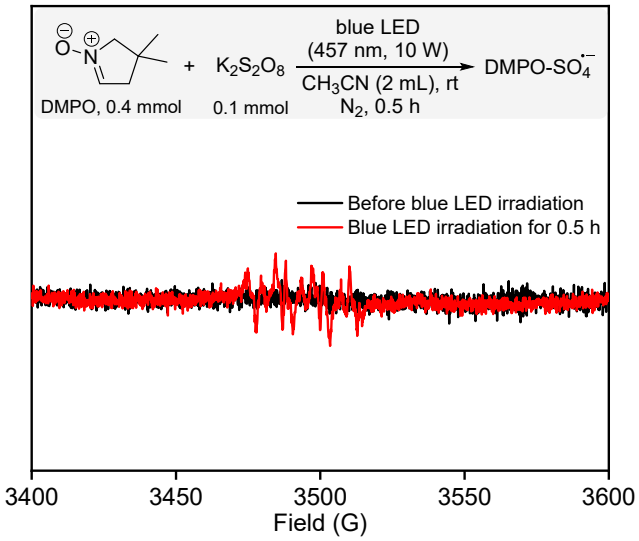
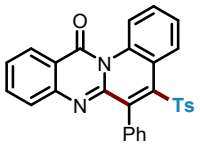


Figure S4 The EPR experiments

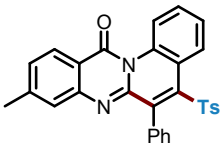
3. Characterization Data for Products

6-Phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3a)



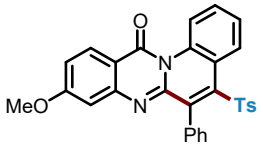
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3a**. Yellow solid (38.1 mg, 80% yield), mp 151 – 153 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.78 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.42 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.81 – 7.66 (m, 1H), 7.61 – 7.47 (m, 4H), 7.38 – 7.29 (m, 3H), 7.27 – 7.19 (m, 2H), 7.14 – 7.06 (m, 2H), 7.00 (d, *J* = 8.1 Hz, 2H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.2, 147.0, 145.8, 143.8, 142.8, 139.6, 138.3, 134.6, 134.0, 133.7, 131.8, 129.3, 129.0, 128.6, 128.1, 127.6, 127.5, 127.24, 127.20, 127.0, 126.3, 120.8, 120.6, 120.3, 21.6. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{29}H_{21}N_2O_3S$, 477.1267, Found: 477.1272.

9-Methyl-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3b)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3b**. Yellow solid (32.8 mg, 67% yield), mp ＞300 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.7, 1.5 Hz, 1H), 8.77 (dd, *J* = 8.2, 1.8 Hz, 1H), 8.29 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.61 – 7.52 (m, 1H), 7.52 – 7.46 (m, 1H), 7.40 – 7.28 (m, 5H), 7.27 – 7.17 (m, 2H), 7.13 – 7.07 (m, 2H), 7.04 – 6.96 (m, 2H), 2.44 (s, 3H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 147.1, 145.9, 145.8, 143.8, 142.5, 139.7, 138.3, 134.1, 133.9, 131.7, 129.3, 129.0, 128.5, 127.6, 127.5, 127.2, 127.1, 126.9, 126.2, 120.8, 120.5, 117.9, 21.8, 21.5. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{30}H_{23}N_2O_3S$, 491.1424, Found: 491.1435.

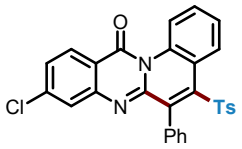
9-Methoxy-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3c)



Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3c**. Yellow solid (35.4 mg, 70% yield), mp ＞300 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.7, 1.2 Hz, 1H), 8.76 (dd, *J* = 8.3, 1.6 Hz, 1H), 8.30 (d, *J* = 8.9 Hz, 1H), 7.60 – 7.53 (m, 1H), 7.52 – 7.46 (m, 1H), 7.41 – 7.34 (m, 1H), 7.32 – 7.21 (m, 4H), 7.14 – 7.07 (m, 3H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.84 (d, *J* = 2.4 Hz, 1H), 3.84 (s, 3H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 164.9, 161.6, 148.1, 147.7,

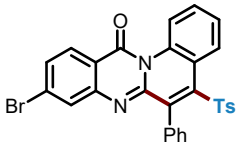
143.8, 142.8, 139.5, 138.3, 134.1, 133.8, 131.8, 129.3, 129.0, 128.8, 128.6, 127.5, 127.3, 126.9, 126.1, 120.9, 120.4, 118.3, 113.9, 108.0, 55.8, 21.5. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{30}H_{23}N_2O_4S$, 507.1373, Found: 507.1377.

9-Chloro-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3d)



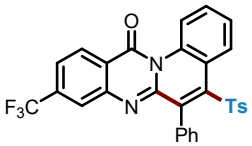
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3d**. Yellow solid (29.1 mg, 57% yield), mp 236 – 238 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.81 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.33 (d, *J* = 8.6 Hz, 1H), 7.63 – 7.57 (m, 1H), 7.56 – 7.49 (m, 2H), 7.46 (dd, *J* = 8.6, 2.0 Hz, 1H), 7.38 – 7.33 (m, 1H), 7.32 – 7.28 (m, 2H), 7.23 (dd, *J* = 8.5, 7.0 Hz, 2H), 7.10 – 7.04 (m, 2H), 7.01 (d, *J* = 8.1 Hz, 2H), 2.34 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 161.6, 148.1, 146.6, 144.0, 143.5, 140.9, 139.2, 138.1, 133.8, 133.4, 131.7, 129.3, 129.2, 128.8, 128.7, 128.1, 127.5, 127.30, 127.26, 127.1, 126.6, 120.8, 120.5, 118.6, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{29}H_{20}ClN_2O_3S$, 511.0878, Found: 511.0886.

9-Bromo-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3e)



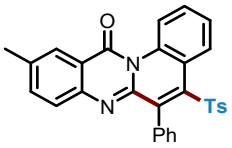
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3e**. Yellow solid (34.9 mg, 63% yield), mp 234 – 236 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.81 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.25 (d, *J* = 8.6 Hz, 1H), 7.68 (d, *J* = 1.8 Hz, 1H), 7.63 – 7.58 (m, 2H), 7.58 – 7.50 (m, 1H), 7.40 – 7.32 (m, 1H), 7.33 – 7.28 (m, 2H), 7.23 (dd, *J* = 8.5, 6.9 Hz, 2H), 7.10 – 7.04 (m, 2H), 7.02 – 6.98 (m, 2H), 2.34 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 161.7, 148.0, 146.6, 144.0, 143.5, 139.2, 138.1, 133.9, 133.5, 131.7, 130.8, 130.5, 129.5, 129.3, 129.2, 128.71, 128.69, 127.5, 127.3, 127.1, 126.6, 120.8, 120.5, 119.0, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{29}H_{20}BrN_2O_3S$, 555.0373, Found: 555.0381.

6-Phenyl-5-tosyl-9-(trifluoromethyl)-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3f)



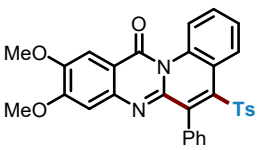
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3f**. Yellow solid (21.8 mg, 40% yield), mp 246 – 248 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.83 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.52 (d, *J* = 8.4 Hz, 1H), 7.80 – 7.74 (m, 1H), 7.70 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.64 – 7.51 (m, 2H), 7.41 – 7.33 (m, 1H), 7.32 – 7.27 (m, 2H), 7.27 – 7.19 (m, 2H), 7.11 – 7.05 (m, 2H), 7.01 (d, *J* = 8.1 Hz, 2H), 2.34 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 161.5, 148.1, 145.7, 144.0, 143.8, 139.0, 138.0, 136.1 (q, $J_{F–C}$ = 33.1 Hz), 133.7, 133.3, 131.7, 129.4, 129.3, 128.8, 128.5, 127.5, 127.3, 127.1, 126.8, 125.5 (q, $J_{F–C}$ = 3.8 Hz), 123.3 (q, $J_{F–C}$ = 273.2 Hz), 123.2 (q, $J_{F–C}$ = 3.1 Hz), 122.3 120.7, 120.6, 21.6. ^{19}F{^{1}H} NMR (376 MHz, Chloroform-*d*) δ -63.20. HRMS (ESI-TOF) *m/z*: [M + H]$^{+}$ Calcd for $C_{30}H_{20}F_3N_2O_3S$, 545.1141, Found: 545.1145.

10-Methyl-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3g)



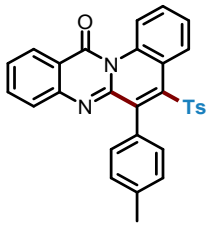
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3g**. Yellow solid (34.8 mg, 71% yield), mp 250 – 252 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 (dd, *J* = 8.7, 1.3 Hz, 1H), 8.76 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.25 – 8.15 (m, 1H), 7.60 – 7.46 (m, 3H), 7.41 (d, *J* = 8.3 Hz, 1H), 7.38 – 7.27 (m, 3H), 7.23 (dd, *J* = 8.5, 6.9 Hz, 2H), 7.14 – 7.06 (m, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 2.52 (s, 3H), 2.32 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.2, 146.3, 143.9, 143.8, 142.2, 139.8, 138.3, 138.1, 136.2, 134.1, 133.8, 131.8, 129.3, 128.9, 128.6, 127.9, 127.5, 127.2, 126.9, 126.5, 126.2, 120.8, 120.6, 120.0, 21.6, 21.5. HRMS (ESI-TOF) *m/z*: [M + H]$^{+}$ Calcd for $C_{30}H_{23}N_2O_3S$, 491.1424, Found: 491.1425.

9,10-Dimethoxy-6-phenyl-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3h)



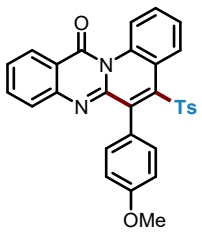
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3h**. Yellow solid (48.3 mg, 90% yield), mp 245 – 247 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.05 (d, *J* = 8.7 Hz, 1H), 8.76 (dd, 1H), 7.73 (s, 1H), 7.62 – 7.46 (m, 2H), 7.40 – 7.21 (m, 5H), 7.12 (d, *J* = 7.6 Hz, 2H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.88 (s, 1H), 4.05 (s, 3H), 3.91 (s, 3H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 161.4, 155.4, 150.1, 146.0, 143.8, 142.4, 141.7, 139.6, 138.4, 134.1, 134.0, 131.7, 129.3, 128.8, 128.5, 127.4, 127.3, 126.8, 126.2, 120.9, 120.6, 114.0, 108.1, 105.8, 56.45, 56.44, 21.5. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{31}H_{25}N_2O_5S$, 537.1479, Found: 537.1480.

6-(*p*-Tolyl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3i)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3i**. Yellow solid (34.3 mg, 70% yield), mp 266 – 268 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.96 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.74 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.41 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.75 – 7.66 (m, 1H), 7.59 – 7.43 (m, 4H), 7.36 – 7.27 (m, 2H), 7.00 (t, *J* = 6.5 Hz, 6H), 2.41 (s, 3H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 162.2, 147.1, 145.9, 143.8, 142.8, 139.5, 138.8, 138.3, 134.5, 133.9, 131.8, 130.7, 129.0, 128.9, 128.1, 128.0, 127.6, 127.5, 127.2, 126.9, 126.3, 120.8, 120.7, 120.3, 21.6, 21.5. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{30}H_{23}N_2O_3S$, 491.1424, Found: 491.1423.

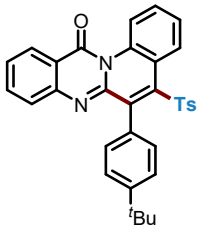
6-(4-Methoxyphenyl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3j)



Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3j**. Yellow solid (39.9 mg, 79% yield), mp 263 – 265 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.95 (d, *J* = 8.5 Hz, 1H), 8.74 (dd, *J* = 8.1, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.79 – 7.64 (m, 1H), 7.60 – 7.43 (m, 4H),

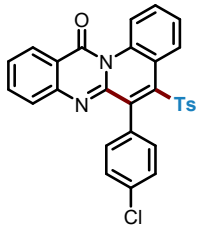
7.36 – 7.20 (m, 2H), 7.02 (dd, *J* = 12.7, 8.3 Hz, 4H), 6.79 – 6.65 (m, 2H), 3.88 (s, 3H), 2.32 (s, 3H). $^{13}C\{^{1}H\}$ NMR (101 MHz, Chloroform-*d*) δ 162.2, 160.3, 147.3, 145.9, 143.7, 142.8, 139.0, 138.4, 134.6, 133.8, 133.6, 129.1, 128.8, 128.0, 127.5, 127.4, 127.3, 126.9, 126.3, 125.7, 120.9, 120.8, 120.3, 112.8, 55.3, 21.5. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{30}H_{23}N_2O_4S$, 507.1373, Found: 507.1377.

6-(4-(*tert*-Bbutyl)phenyl)-5-tosyl-12H-quinolino[2,1-*b*]quinazolin-12-one (3k)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3k**. Yellow solid (47.8 mg, 90% yield), mp 284 – 286 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.96 (dd, *J* = 8.5, 1.3 Hz, 1H), 8.78 (dd, *J* = 8.1, 1.7 Hz, 1H), 8.43 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.78 – 7.65 (m, 1H), 7.62 – 7.49 (m, 4H), 7.20 (dd, *J* = 15.9, 8.3 Hz, 4H), 6.97 (dd, *J* = 20.4, 8.2 Hz, 4H), 2.31 (s, 3H), 1.39 (s, 9H). $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 162.2, 151.9, 147.1, 145.8, 143.4, 143.2, 139.1, 138.3, 134.5, 133.8, 131.9, 130.3, 129.1, 128.9, 128.1, 127.5, 127.5, 127.3, 127.0, 126.3, 124.2, 120.9, 120.8, 120.3, 34.7, 31.4, 21.5. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{33}H_{29}N_2O_3S$, 533.1893, Found: 533.1898.

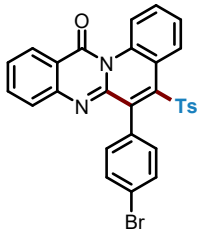
6-(4-Chlorophenyl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3l)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3l**. Yellow solid (28.1 mg, 55% yield), mp 220 – 222 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.6, 1.2 Hz, 1H), 8.77 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.41 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.79 – 7.67 (m, 1H), 7.63 – 7.46 (m, 4H), 7.39 – 7.31 (m, 2H), 7.23 – 7.16 (m, 2H), 7.10 – 7.00 (m, 4H), 2.36 (s, 3H). $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 162.1, 146.7, 145.7, 144.3, 143.2, 138.11, 138.09, 135.0, 134.7, 134.1, 133.0, 132.2,

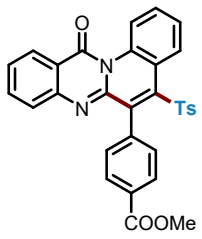
129.32, 129.27, 127.9, 127.7, 127.6, 127.5, 127.3, 126.9, 126.4, 120.9, 120.33, 120.25, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{29}H_{20}ClN_2O_3S$, 511.0878, Found: 511.0881.

6-(4-Bromophenyl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3m)



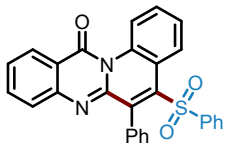
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3m**. Yellow solid (24.4 mg, 44% yield), mp 265 – 267 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.6, 1.2 Hz, 1H), 8.77 (dd, *J* = 8.2, 1.5 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.78 – 7.69 (m, 1H), 7.62 – 7.48 (m, 4H), 7.37 – 7.31 (m, 4H), 7.08 (d, *J* = 8.1 Hz, 2H), 7.02 – 6.92 (m, 2H), 2.37 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.6, 145.7, 144.4, 143.2, 138.1, 138.0, 134.7, 134.1, 133.3, 132.7, 130.4, 129.34, 129.29, 127.9, 127.7, 127.6, 127.3, 127.0, 126.4, 123.4, 120.9, 120.32, 120.25, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{29}H_{20}BrN_2O_3S$, 555.0373, Found: 555.0373.

Methyl 4-(12-oxo-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-6-yl)benzoate (3n)



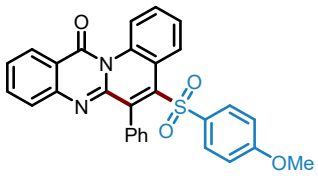
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3n**. Yellow solid (26.2 mg, 49% yield), mp ＞300 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.02 (dd, *J* = 8.7, 1.2 Hz, 1H), 8.78 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.41 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.93 (d, *J* = 8.3 Hz, 2H), 7.76 – 7.69 (m, 1H), 7.63 – 7.43 (m, 4H), 7.40 – 7.35 (m, 2H), 7.24 – 7.16 (m, 2H), 7.08 – 7.00 (m, 2H), 3.99 (s, 3H), 2.35 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 166.9, 162.1, 146.5, 145.7, 144.5, 142.7, 138.9, 138.7, 138.0, 134.7, 134.2, 131.4, 129.9, 129.5, 129.4, 128.4, 127.9, 127.8, 127.6, 127.3, 126.9, 126.4, 120.9, 120.3, 120.1, 52.3, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{31}H_{23}N_2O_5S$, 535.1322, Found: 535.1324.

6-Phenyl-5-(phenylsulfonyl)-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3o)



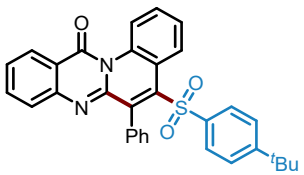
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3o**. Yellow solid (39.3 mg, 85% yield), mp 254 – 256 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.77 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.40 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.74 – 7.64 (m, 1H), 7.61 – 7.47 (m, 4H), 7.43 – 7.29 (m, 4H), 7.24 – 7.17 (m, 4H), 7.12 – 7.05 (m, 2H). ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 162.2, 146.9, 145.8, 142.6, 141.3, 139.7, 134.6, 134.0, 133.5, 132.8, 131.9, 129.1, 128.7, 128.0, 127.6, 127.38, 127.35, 127.3, 126.9, 126.4, 120.8, 120.5, 120.3. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{28}H_{19}N_2O_3S$, 463.1111, Found: 463.1120.

5-((4-Methoxyphenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3p)



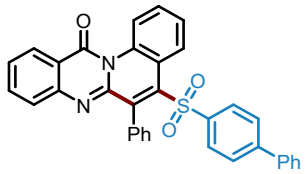
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3p**. Yellow solid (39.3 mg, 80% yield), mp 128 – 130 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.4 Hz, 1H), 8.80 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.78 – 7.67 (m, 1H), 7.62 – 7.48 (m, 4H), 7.41 – 7.30 (m, 3H), 7.29 – 7.20 (m, 2H), 7.14 – 7.07 (m, 2H), 6.69 – 6.62 (m, 2H), 3.80 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 163.1, 162.2, 147.0, 145.8, 143.2, 139.2, 134.6, 134.0, 133.8, 132.6, 131.8, 129.8, 129.0, 128.7, 128.0, 127.6, 127.3, 127.2, 127.0, 126.3, 120.8, 120.7, 120.2, 114.0, 55.7. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{29}H_{21}N_2O_4S$, 493.1217, Found: 493.1222.

5-((4-(tert-butyl)phenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3q)



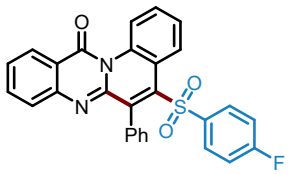
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3q**. Yellow solid (38.8 mg, 75% yield), mp 230 – 232 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.5, 1.4 Hz, 1H), 8.82 (dd, *J* = 8.1, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.78 – 7.67 (m, 1H), 7.64 – 7.45 (m, 4H), 7.34 – 7.27 (m, 3H), 7.22 – 7.13 (m, 4H), 7.11 – 7.01 (m, 2H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.2, 156.6, 147.0, 145.8, 143.1, 139.2, 138.1, 134.6, 134.0, 133.6, 131.9, 129.0, 128.5, 128.0, 127.6, 127.4, 127.3, 127.3, 127.1, 126.4, 125.7, 120.8, 120.7, 120.3, 35.1, 31.0. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{32}H_{27}N_2O_3S$, 519.1737, Found: 519.1744.

5-([1,1'-biphenyl]-4-ylsulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3r)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3r**. Yellow solid (43.1 mg, 80% yield), mp 262 – 264 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (dd, *J* = 8.5, 1.4 Hz, 1H), 8.82 (dd, *J* = 8.1, 1.7 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.75 – 7.68 (m, 1H), 7.64 – 7.58 (m, 1H), 7.57 – 7.32 (m, 13H), 7.20 (dd, *J* = 8.6, 7.0 Hz, 2H), 7.13 – 7.06 (m, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.2, 146.9, 145.8, 145.7, 143.0, 139.6, 139.30, 139.28, 134.6, 134.0, 133.6, 132.0, 129.14, 129.07, 128.7, 128.6, 128.02, 127.97, 127.6, 127.31, 127.27, 127.0, 126.4, 120.9, 120.5, 120.3. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{34}H_{23}N_2O_3S$, 539.1424, Found: 539.1426.

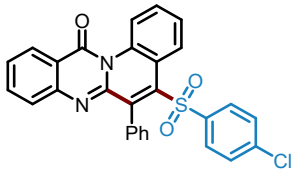
5-((4-fluorophenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3s)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3s**. Yellow solid (48.0 mg, 81% yield), mp 240 – 242 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.76 (dd, *J* = 8.1, 1.7 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.77 – 7.68 (m, 1H), 7.65 – 7.58 (m, 1H), 7.57 – 7.47 (m, 3H), 7.42 – 7.33 (m, 3H), 7.29 – 7.20 (m, 2H), 7.11 – 7.03 (m, 2H), 6.92 – 6.81 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.1 (d, *J* = 256.4 Hz), 162.1, 146.8, 145.8, 142.9, 139.3,

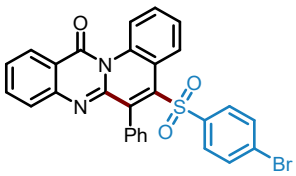
137.1 (d, J = 3.1 Hz), 134.7, 134.07, 133.5, 132.0, 130.4, 130.3, 129.2, 128.9, 128.1, 127.7, 127.4, 127.3, 126.9, 126.4, 120.9, 120.3 (d, J = 12.7 Hz), 116.1, 115.8. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -103.98. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{28}H_{18}FN_2O_3S$, 481.1017, Found: 481.1025.

5-((4-chlorophenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3t)



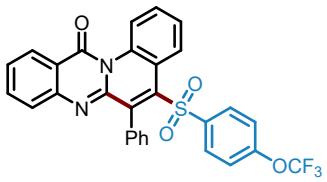
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3t**. Yellow solid (34.7 mg, 70% yield), mp 246 – 248 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.99 (dd, J = 8.6, 1.3 Hz, 1H), 8.75 (dd, J = 8.2, 1.7 Hz, 1H), 8.42 (dd, J = 8.0, 1.5 Hz, 1H), 7.76 – 7.67 (m, 1H), 7.64 – 7.58 (m, 1H), 7.57 – 7.47 (m, 3H), 7.41 – 7.34 (m, 1H), 7.32 – 7.21 (m, 4H), 7.19 – 7.13 (m, 2H), 7.07 (dd, J = 7.8, 1.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.7, 145.7, 142.7, 139.6, 139.5, 139.3, 134.7, 134.0, 133.4, 132.1, 129.2, 129.0, 128.9, 128.8, 128.0, 127.7, 127.4, 127.3, 126.9, 126.4, 120.9, 120.3, 120.3. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{28}H_{18}ClN_2O_3S$, 497.0721, Found: 497.0729.

5-((4-bromophenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3u)



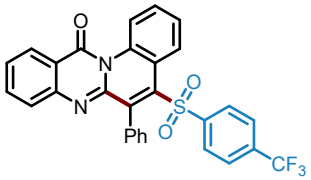
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3u**. Yellow solid (39.4 mg, 73% yield), mp 268 – 270 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (dd, J = 8.6, 1.3 Hz, 1H), 8.75 (dd, J = 8.2, 1.6 Hz, 1H), 8.48 – 8.37 (m, 1H), 7.78 – 7.67 (m, 1H), 7.66 – 7.58 (m, 1H), 7.57 – 7.48 (m, 3H), 7.41 – 7.35 (m, 1H), 7.34 – 7.29 (m, 2H), 7.25 – 7.19 (m, 4H), 7.09 – 7.03 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.7, 145.7, 142.7, 140.1, 139.3, 134.7, 134.0, 133.4, 132.1, 131.9, 129.3, 129.0, 128.9, 128.2, 128.0, 127.7, 127.4, 127.3, 126.8, 126.4, 120.9, 120.33, 120.29. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{28}H_{18}BrN_2O_3S$, 541.0216, Found: 541.0222.

6-Phenyl-5-((4-(trifluoromethoxy)phenyl)sulfonyl)-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3v)



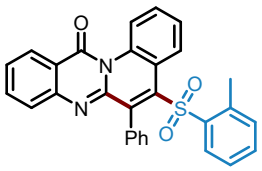
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3v**. Yellow solid (45.3 mg, 83% yield), mp 208 – 210 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.75 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.40 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.76 – 7.67 (m, 1H), 7.64 – 7.57 (m, 1H), 7.56 – 7.45 (m, 3H), 7.42 – 7.30 (m, 3H), 7.20 (dd, *J* = 8.5, 7.0 Hz, 2H), 7.08 – 6.94 (m, 4H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 152.0 (q, $J_{F–C}$ = 1.8 Hz), 146.7, 145.7, 142.8, 139.4, 139.1, 134.7, 134.0, 133.4, 132.2, 129.7, 129.3, 129.0, 128.0, 127.7, 127.4, 127.3, 126.9, 126.4, 120.9, 120.6, 120.3, 120.3, 120.2 (q, $J_{F–C}$ = 259.6 Hz). ^{19}F{^{1}H} NMR (376 MHz, Chloroform-*d*) δ -57.57. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{29}H_{18}F_3N_2O_4S$, 547.0934, Found: 547.0939.

6-Phenyl-5-((4-(trifluoromethyl)phenyl)sulfonyl)-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3w)



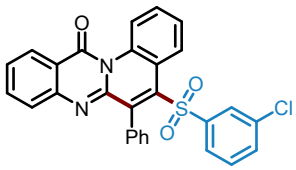
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3w**. Yellow solid (44.5 mg, 84% yield), mp 250 – 252 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.75 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.76 – 7.68 (m, 1H), 7.66 – 7.60 (m, 1H), 7.58 – 7.51 (m, 2H), 7.51 – 7.40 (m, 5H), 7.37 – 7.31 (m, 1H), 7.17 (dd, *J* = 8.6, 7.0 Hz, 2H), 7.07 – 6.99 (m, 2H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.6, 145.7, 144.6, 142.5, 139.3, 134.7, 134.2 (q, $J_{F–C}$ = 33.2 Hz), 134.1, 133.2, 132.3, 129.4, 129.0, 128.0, 127.9, 127.8, 127.5, 127.3, 126.8, 126.5, 125.6 (q, $J_{F–C}$ = 3.8 Hz), 124.4 (q, $J_{F–C}$ = 273.6 Hz), 121.0, 120.3, 120.2. ^{19}F{^{1}H} NMR (376 MHz, Chloroform-*d*) δ -63.41. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{29}H_{18}F_3N_2O_3S$, 531.0985, Found: 531.0990.

6-Phenyl-5-(*o*-tolylsulfonyl)-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3x)



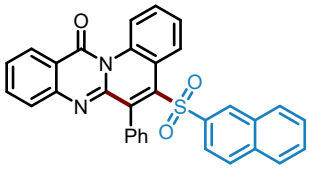
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3x**. Yellow solid (26.6 mg, 56% yield), mp 205 – 207 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.02 (dd, *J* = 8.7, 1.2 Hz, 1H), 8.77 (dd, *J* = 8.3, 1.6 Hz, 1H), 8.44 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.79 – 7.68 (m, 1H), 7.64 – 7.46 (m, 4H), 7.34 – 7.21 (m, 3H), 7.15 (t, *J* = 7.6 Hz, 2H), 7.11 – 7.01 (m, 3H), 6.94 (t, *J* = 7.7 Hz, 1H), 2.25 (s, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.8, 145.8, 142.3, 139.8, 139.1, 136.6, 134.7, 134.2, 133.0, 132.7, 131.8, 131.6, 129.2, 129.0, 128.7, 128.1, 127.7, 127.3, 127.2, 127.1, 126.4, 126.3, 120.7, 120.3, 120.2, 20.3. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{29}H_{21}N_2O_3S$, 477.1267, Found: 477.1270.

5-((3-Chlorophenyl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3y)



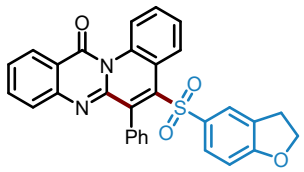
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3y**. Yellow solid (22.3 mg, 45% yield), mp 257 – 259 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (dd, *J* = 8.7, 1.2 Hz, 1H), 8.76 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.75 – 7.66 (m, 1H), 7.65 – 7.58 (m, 1H), 7.57 – 7.47 (m, 3H), 7.42 – 7.30 (m, 3H), 7.27 – 7.12 (m, 4H), 7.09 – 7.00 (m, 2H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.1, 146.7, 145.7, 142.9, 142.6, 139.5, 134.9, 134.7, 134.1, 133.0, 132.9, 132.1, 129.9, 129.3, 129.1, 128.0, 127.9, 127.7, 127.4, 127.3, 126.8, 126.4, 125.5, 120.9, 120.31, 120.29. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{28}H_{18}ClN_2O_3S$, 497.0721, Found: 497.0723.

5-(Naphthalen-2-ylsulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3z)



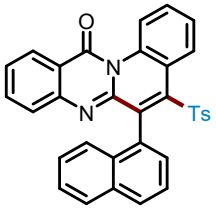
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3z**. Yellow solid (20.4 mg, 40% yield), mp 248 – 250 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (dd, *J* = 8.6, 1.4 Hz, 1H), 8.86 (dd, *J* = 8.1, 1.7 Hz, 1H), 8.42 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.87 (d, *J* = 1.9 Hz, 1H), 7.80 (d, *J* = 8.1 Hz, 1H), 7.73 – 7.65 (m, 3H), 7.64 – 7.58 (m, 2H), 7.57 – 7.50 (m, 3H), 7.49 – 7.40 (m, 2H), 7.12 – 7.05 (m, 1H), 7.04 – 6.99 (m, 2H), 6.98 – 6.93 (m, 2H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 162.2, 146.9, 145.8, 142.8, 139.5, 137.6, 134.7, 134.6, 134.0, 133.2, 131.9, 131.8, 129.8, 129.4, 129.14, 129.12, 129.0, 128.9, 128.0, 127.7, 127.6, 127.4, 127.3, 127.1, 127.0, 126.4, 121.9, 120.8, 120.6, 120.3. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{32}H_{21}N_2O_3S$, 513.1267, Found: 513.1269.

5-((2,3-Dihydrobenzofuran-5-yl)sulfonyl)-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3aa)



Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3aa**. Yellow solid (32.7 mg, 65% yield), mp 218 – 220 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.81 (dd, *J* = 8.2, 1.7 Hz, 1H), 8.41 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.79 – 7.64 (m, 1H), 7.62 – 7.56 (m, 1H), 7.55 – 7.48 (m, 3H), 7.39 – 7.32 (m, 1H), 7.29 – 7.20 (m, 3H), 7.14 – 7.04 (m, 3H), 6.56 (d, *J* = 8.5 Hz, 1H), 4.60 (t, *J* = 8.8 Hz, 2H), 3.04 (t, *J* = 8.7 Hz, 2H). ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 164.2, 162.2, 147.1, 145.8, 143.8, 138.8, 134.6, 134.0, 133.8, 132.4, 131.9, 129.5, 129.0, 128.3, 128.0, 127.7, 127.5, 127.2, 127.13, 127.07, 126.3, 125.3, 120.8, 120.7, 120.2, 109.4, 72.4, 28.6. HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{30}H_{21}N_2O_4S$, 505.1217, Found: 505.1224.

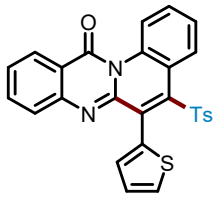
6-(naphthalen-1-yl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3ab)



Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3ab**. Yellow solid (25.5 mg, 48% yield), mp 145 – 147 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.04 (d, *J* = 9.1 Hz,

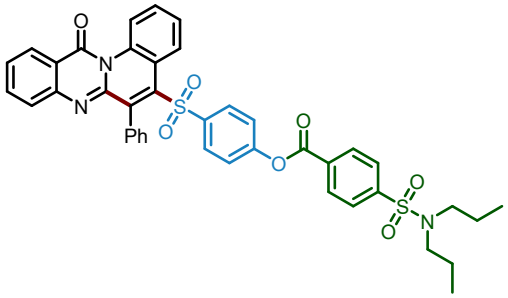
1H), 8.88 (dd, *J* = 8.3, 1.5 Hz, 1H), 8.32 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.76 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.61 – 7.54 (m, 2H), 7.53 – 7.36 (m, 5H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.03 (dd, *J* = 8.1, 5.9 Hz, 3H), 6.99 – 6.94 (m, 1H), 6.85 (d, *J* = 8.4 Hz, 1H), 6.50 (d, *J* = 8.0 Hz, 2H), 1.96 (s, 3H). $^{13}C\{^{1}H\}$ NMR (101 MHz, Chloroform-*d*) δ 162.3, 146.4, 145.7, 144.7, 143.3, 137.5, 136.5, 134.6, 134.5, 133.0, 132.0, 131.9, 129.92, 129.88, 129.4, 128.6, 129.0, 128.0, 127.48, 127.46, 127.3, 127.1, 126.39, 126.36, 125.5, 125.4, 125.0, 120.6, 120.3, 120.1, 21.3. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{33}H_{23}N_2O_3S$, 527.1424, Found: 527.1429.

6-(thiophen-2-yl)-5-tosyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (3ac)



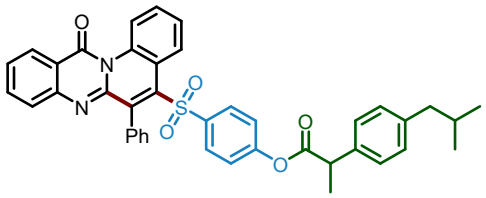
Purification by silica gel column chromatography (PE:EA, 5:1, v/v) to provide **3ac**. Yellow solid (21.8 mg, 45% yield), mp 133 – 135 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.92 (dd, *J* = 8.6, 1.2 Hz, 1H), 8.68 (dd, *J* = 8.1, 1.5 Hz, 1H), 8.44 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.78 (td, *J* = 7.6, 7.0, 1.5 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.61 – 7.47 (m, 5H), 7.31 (d, *J* = 8.1 Hz, 2H), 7.05 (dd, *J* = 8.5, 6.3 Hz, 3H), 2.32 (s, 3H). $^{13}C\{^{1}H\}$ NMR (101 MHz, Chloroform-*d*) δ 162.0, 146.6, 145.6, 143.82, 143.79, 137.7, 135.6, 134.8, 133.4, 133.4, 133.1, 132.6, 129.2, 129.0, 127.8, 127.8, 127.7, 127.5, 126.9, 126.5, 125.5, 121.4, 121.2, 120.4, 21.6. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{27}H_{18}N_2NaO_3S_2$, 505.0651, Found: 505.0651.

4-((12-Oxo-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-5-yl)sulfonyl)phenyl 4-(*N*,*N*-dipropylsulfamoyl)benzoate (3ae)



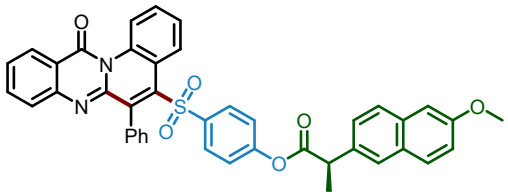
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3ae**. Yellow solid (29.8 mg, 40% yield), mp 89 – 91 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.01 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.79 (dd, *J* = 8.1, 1.6 Hz, 1H), 8.43 (dd, *J* = 8.0, 1.5 Hz, 1H), 8.29 (d, *J* = 8.5 Hz, 2H), 7.96 (d, *J* = 8.5 Hz, 2H), 7.78 – 7.69 (m, 1H), 7.66 – 7.59 (m, 1H), 7.59 – 7.49 (m, 3H), 7.49 – 7.41 (m, 2H), 7.40 – 7.33 (m, 1H), 7.31 – 7.22 (m, 3H), 7.13 – 7.02 (m, 4H), 3.45 – 2.92 (m, 4H), 1.79 – 1.34 (m, 4H), 0.88 (t, *J* = 7.4 Hz, 6H). ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 162.9, 162.1, 153.9, 146.8, 145.8, 145.7, 145.49, 145.45, 142.9, 139.5, 139.0, 134.7, 134.0, 133.3, 132.11, 131.99, 130.9, 129.2, 128.9, 128.1, 127.7, 127.7, 127.3, 126.9, 126.4, 122.0, 120.9, 120.5, 120.3, 49.9, 21.9, 11.2. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{41}H_{36}N_3O_7S_2$, 746.1989, Found: 746.1996.

4-((12-Oxo-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-5-yl)sulfonyl)phenyl 2-(4-isobutylphenyl)propanoate (3af)



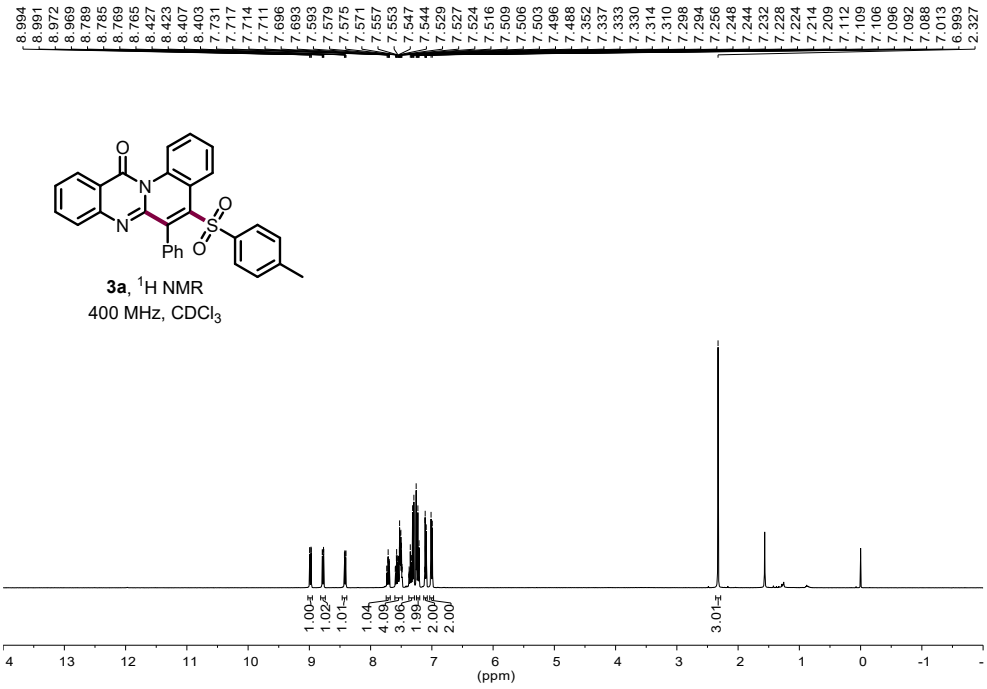
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3af**. Yellow solid (43.3 mg, 65% yield), mp 119 – 121 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (dd, *J* = 8.6, 1.2 Hz, 1H), 8.75 (dd, *J* = 8.2, 1.5 Hz, 1H), 8.41 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.75 – 7.66 (m, 1H), 7.63 – 7.56 (m, 1H), 7.51 (d, *J* = 84.8 Hz, 3H), 7.35 – 7.11 (m, 9H), 7.06 – 7.00 (m, 2H), 6.85 – 6.76 (m, 2H), 3.91 (q, *J* = 7.1 Hz, 1H), 2.47 (d, *J* = 7.1 Hz, 2H), 1.94 – 1.79 (m, 1H), 1.59 (d, *J* = 7.1 Hz, 3H), 0.90 (d, *J* = 6.6 Hz, 6H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 172.2, 162.1, 154.3, 146.8, 145.8, 142.9, 141.1, 139.5, 138.2, 136.7, 134.6, 134.1, 133.3, 132.0, 129.6, 129.1, 129.0, 128.8, 128.1, 127.64, 127.57, 127.3, 127.1, 126.9, 126.4, 121.8, 120.9, 120.5, 120.3, 45.3, 45.0, 30.2, 22.4, 18.4. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{41}H_{35}N_2O_5S$, 667.2261, Found: 667.2271.

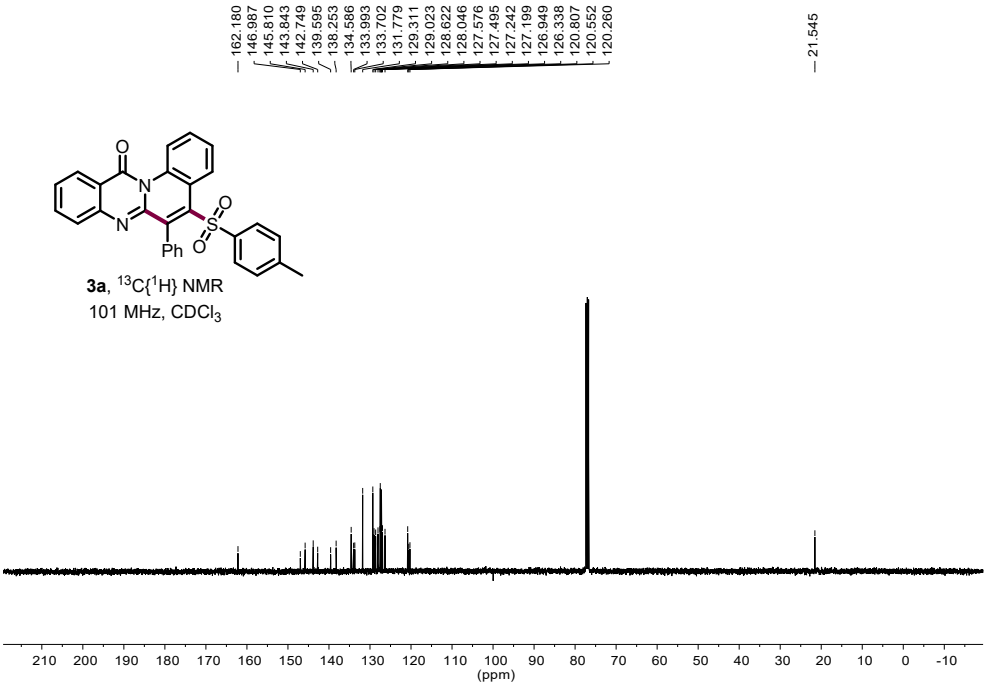
4-((12-Oxo-6-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-5-yl)sulfonyl)phenyl -2-(6-methoxynaphthalen-2-yl)propanoate (3ag)

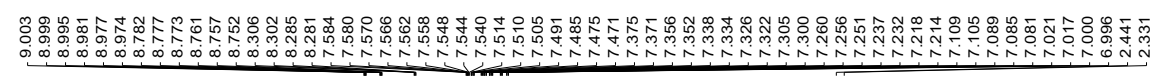


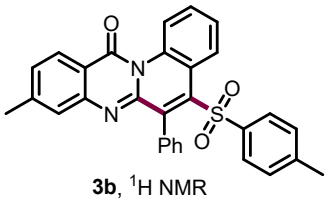
Purification by silica gel column chromatography (PE:EA, 3:1, v/v) to provide **3ag**. Yellow solid (37.9 mg, 55% yield), mp 107 – 109 ℃. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 (d, *J* = 8.6 Hz, 1H), 8.75 (d, *J* = 8.2 Hz, 1H), 8.41 (d, *J* = 8.0 Hz, 1H), 7.83 – 7.67 (m, 4H), 7.63 – 7.38 (m, 6H), 7.28 (dd, *J* = 16.1, 8.3 Hz, 4H), 7.22 – 7.10 (m, 4H), 7.03 (d, *J* = 7.6 Hz, 2H), 6.80 (d, *J* = 8.4 Hz, 2H), 4.07 (q, *J* = 6.9 Hz, 1H), 3.92 (s, 3H), 1.68 (d, *J* = 7.1 Hz, 3H). ^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*) δ 172.2, 162.1, 157.9, 154.2, 146.8, 145.7, 142.9, 139.5, 138.3, 134.6, 134.5, 134.0, 133.9, 133.3, 132.0, 129.3, 129.1, 129.0, 128.8, 128.1, 127.7, 127.6, 127.3, 126.9, 126.4, 126.2, 125.9, 121.8, 120.9, 120.5, 120.3, 119.3, 105.6, 55.4, 45.6, 18.4. HRMS (ESI-TOF) *m/z*: [M + H]$^{+}$ Calcd for $C_{42}H_{31}N_2O_6S$, 691.1897, Found: 691.1903.

4. Copies of spectra of products

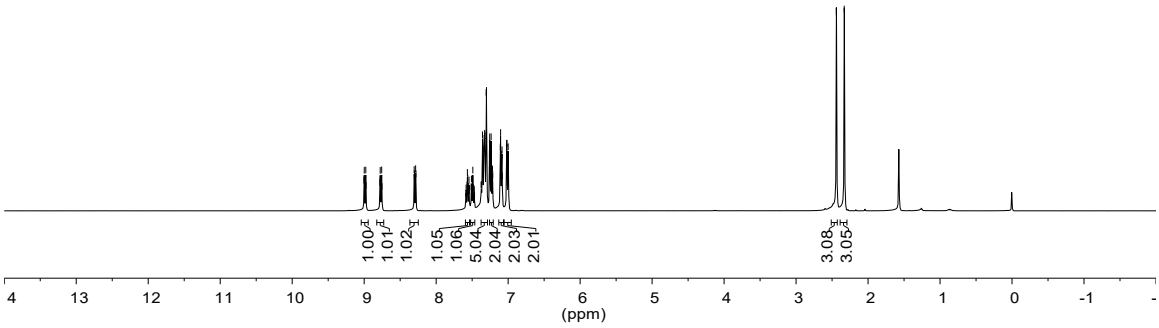


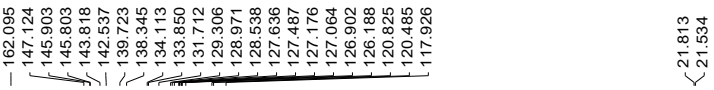


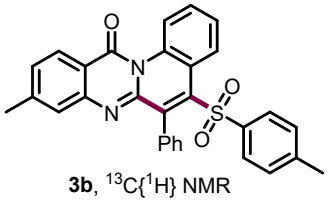




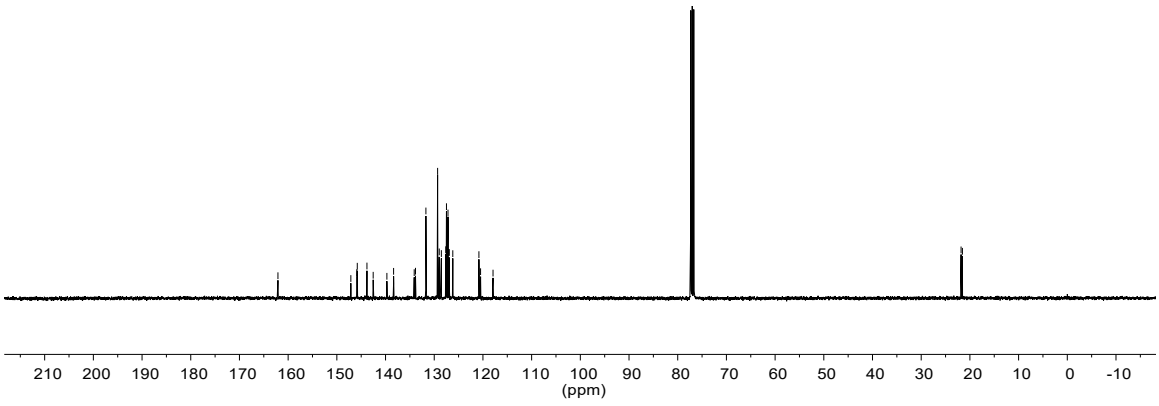
3b, ^{1}H NMR
400 MHz, $CDCl_3$

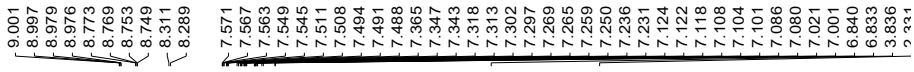


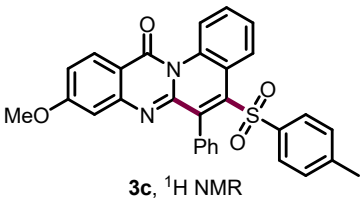




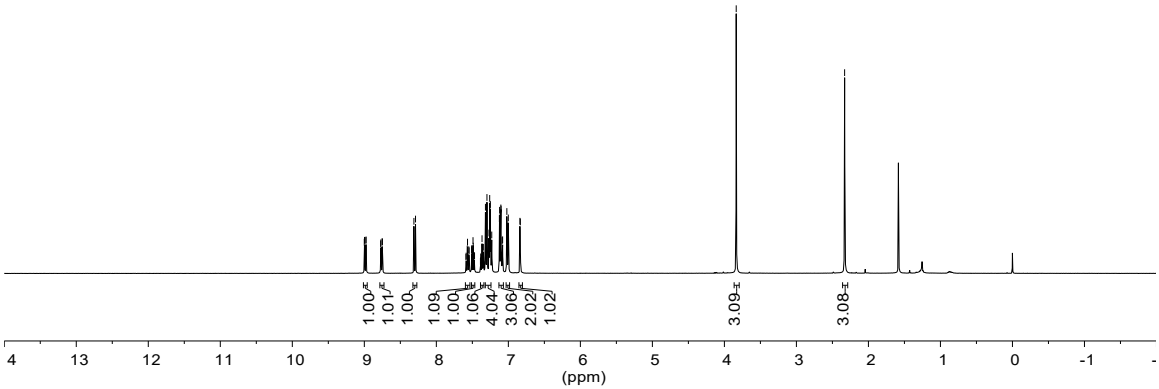
3b, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

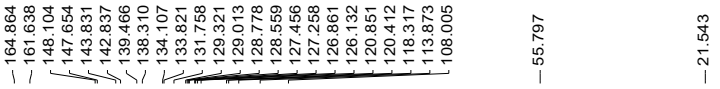


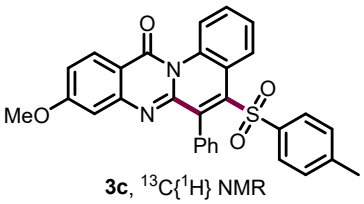




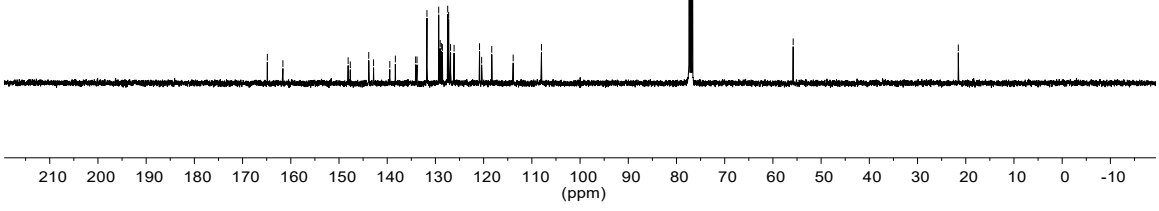
3c, ^{1}H NMR
400 MHz, $CDCl_3$

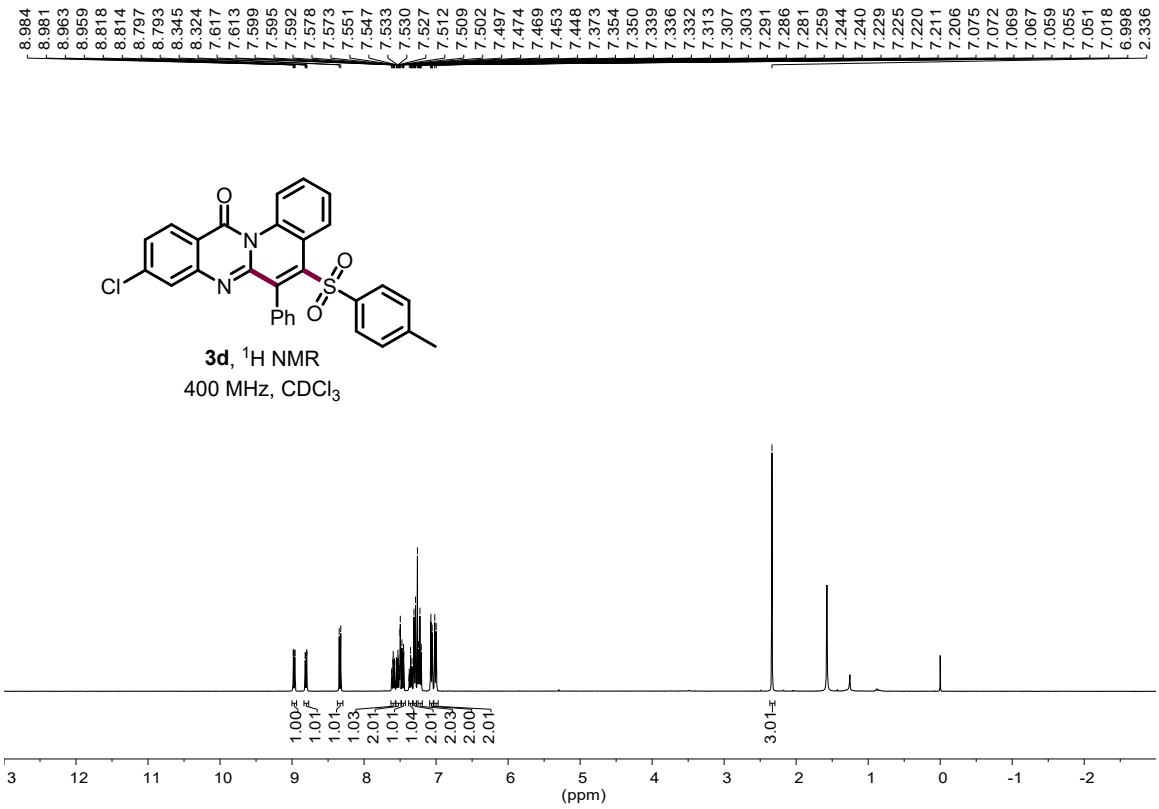




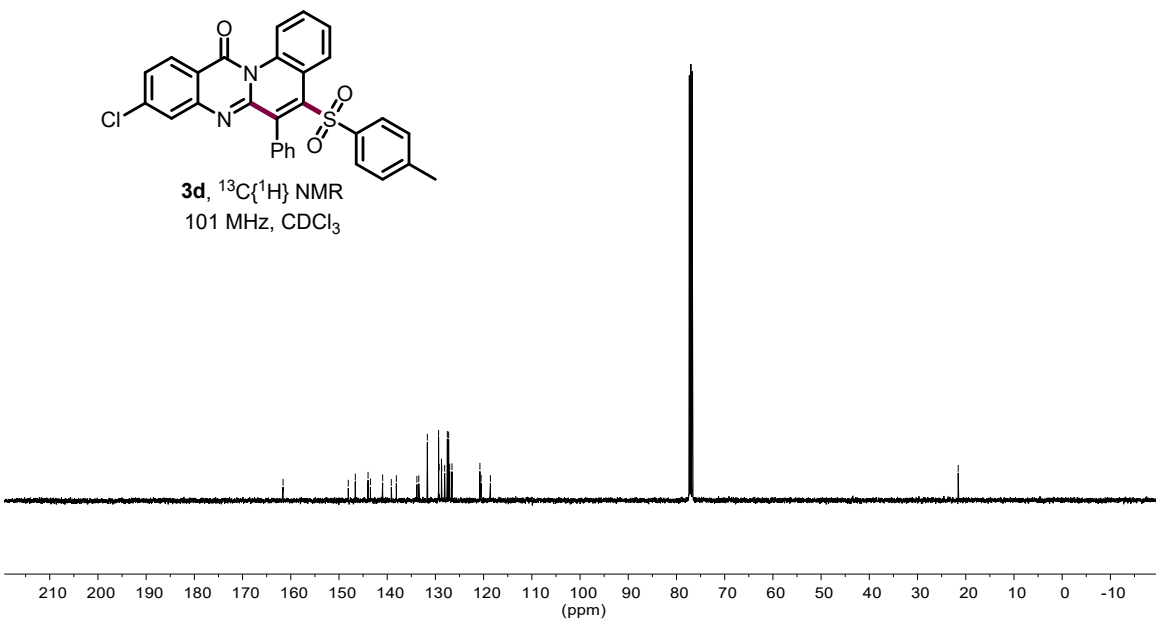


3c, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

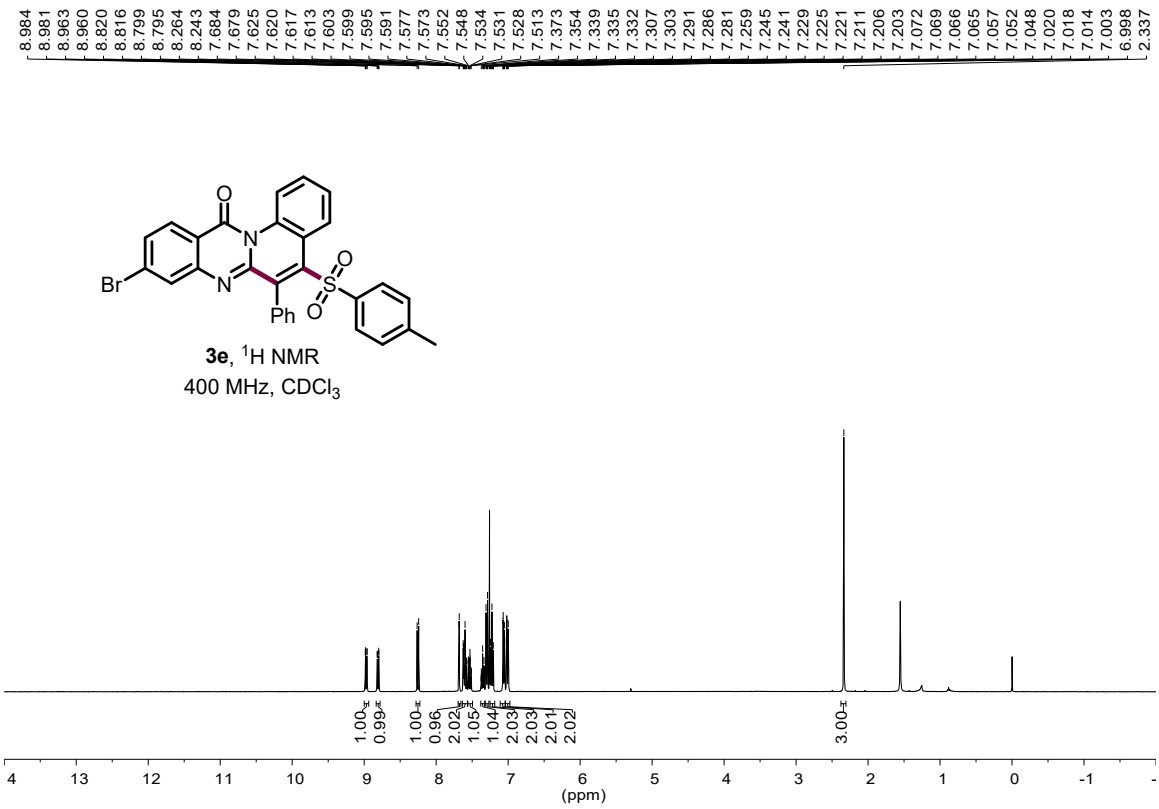




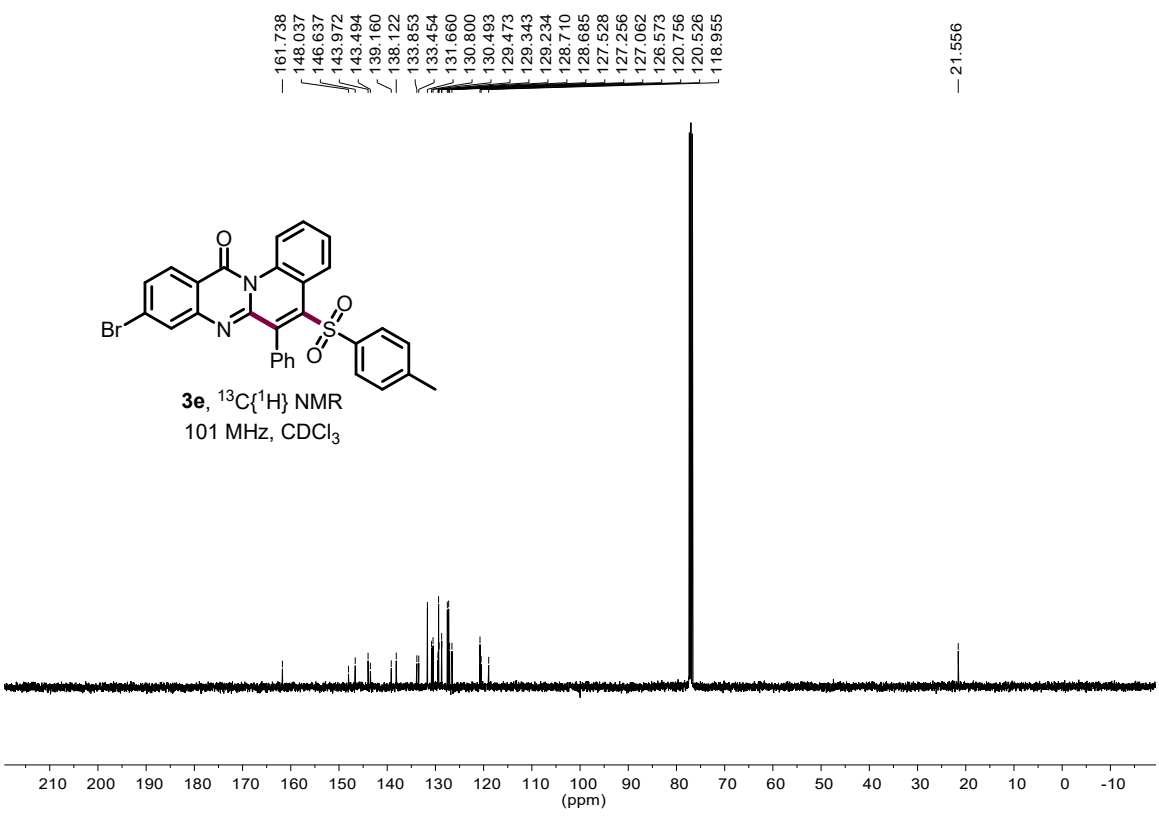
3d, ^{1}H NMR
400 MHz, $CDCl_3$



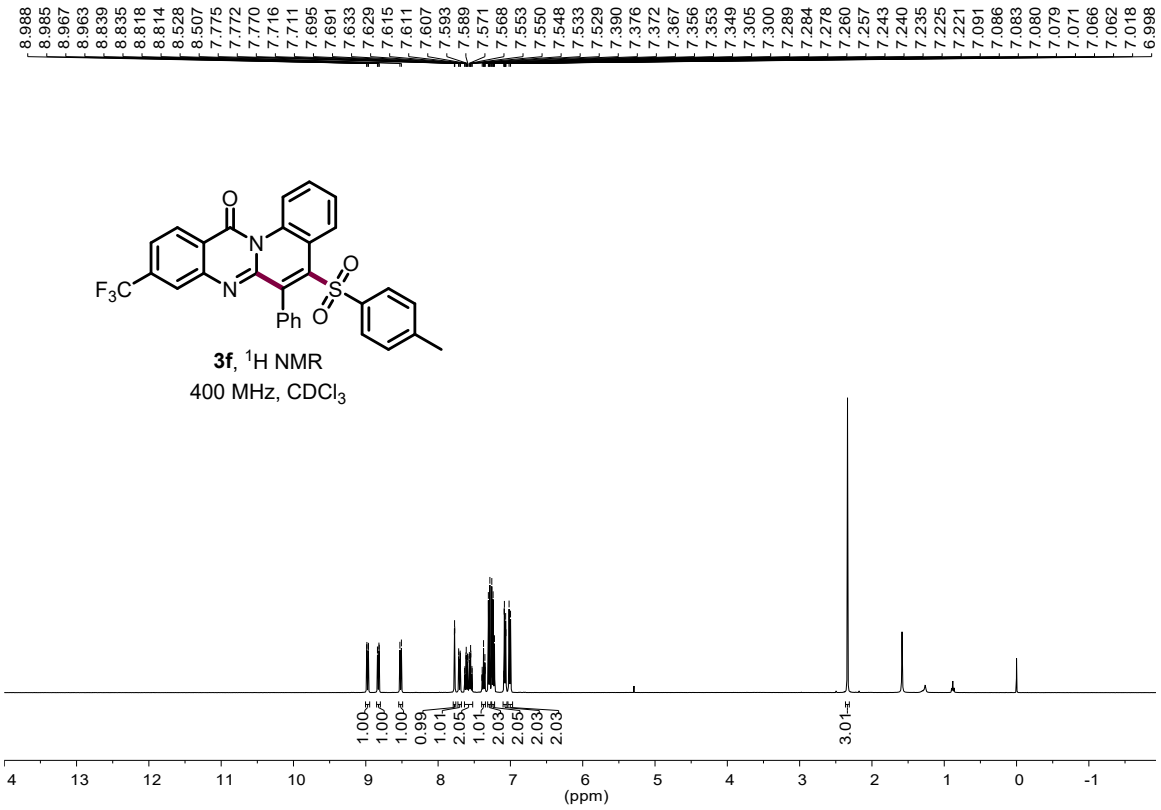
3d, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



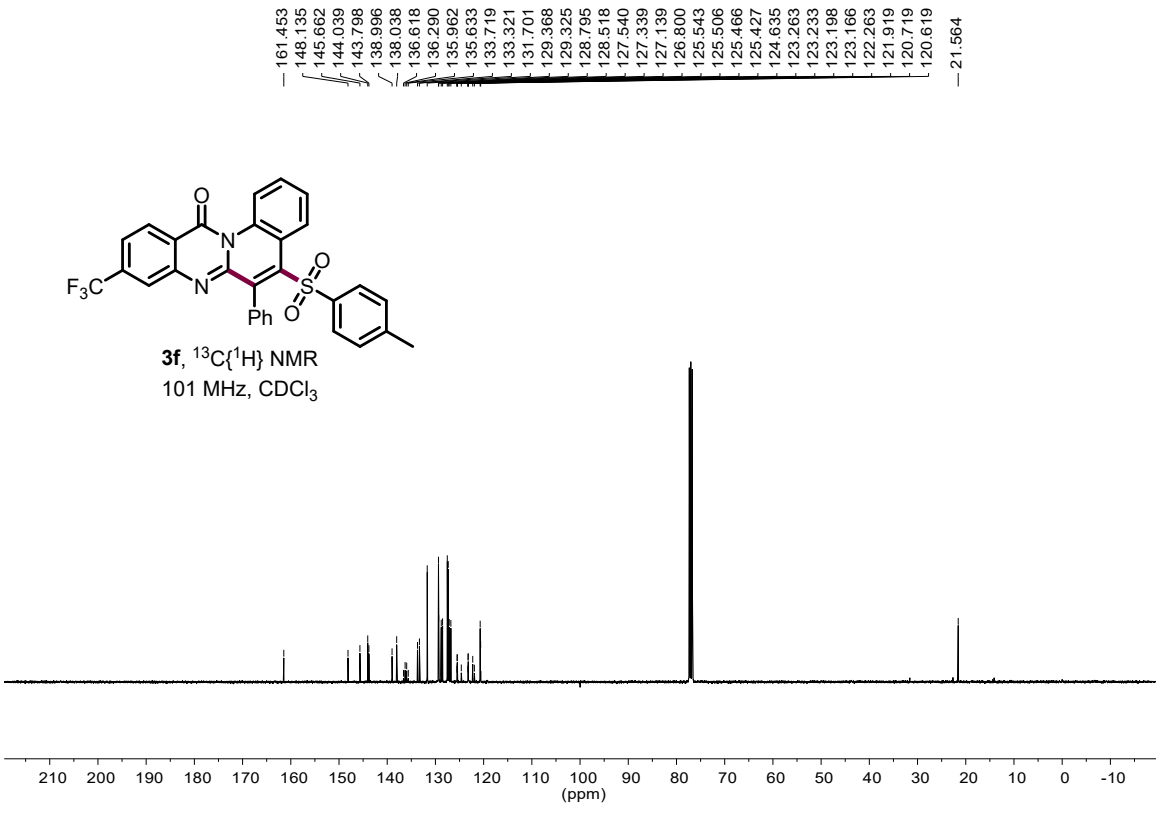
3e, ^{1}H NMR
400 MHz, $CDCl_3$



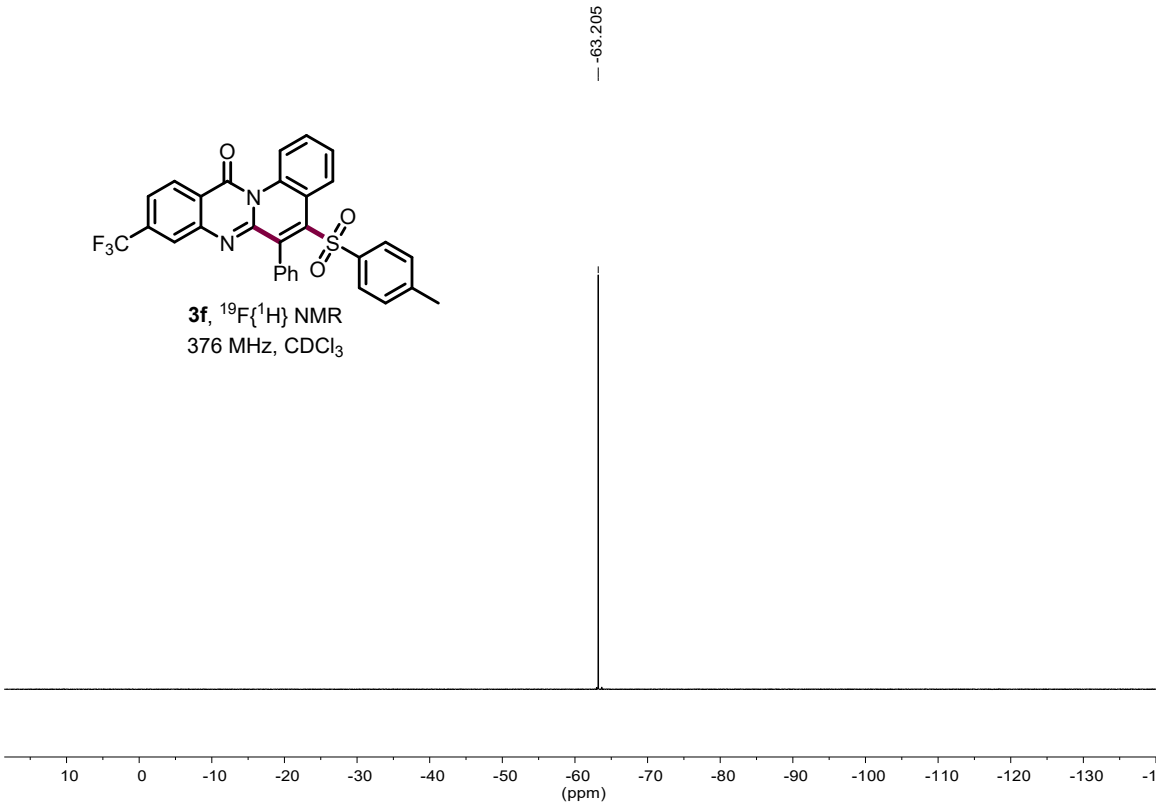
3e, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



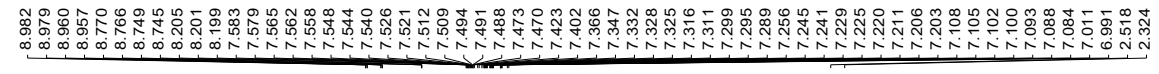
3f, ^{1}H NMR
400 MHz, $CDCl_3$

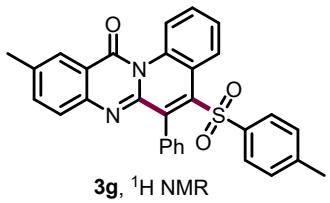


3f, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

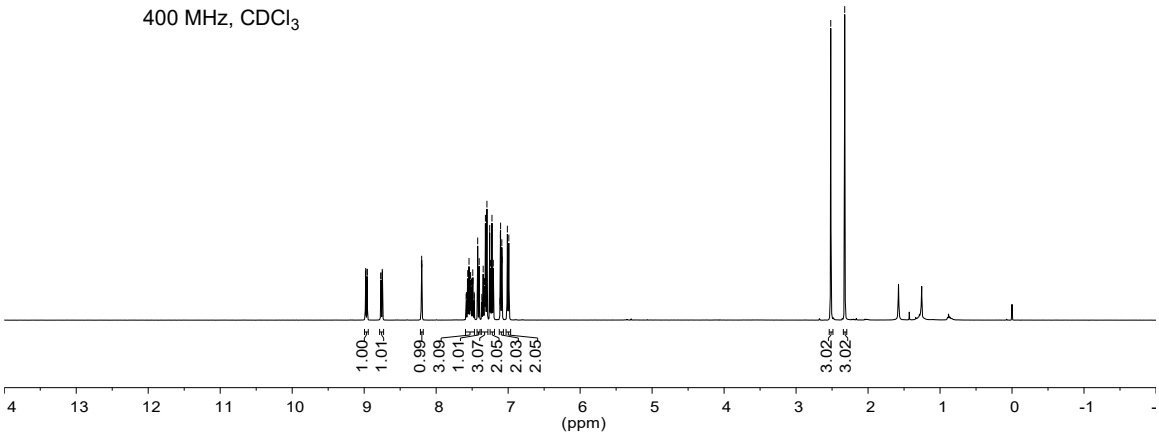


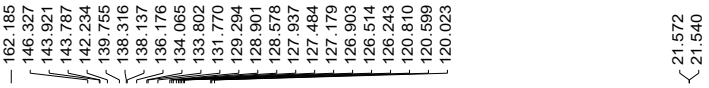
3f, $^{19}F\{^{1}H\}$ NMR
376 MHz, $CDCl_3$

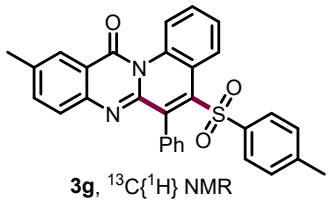




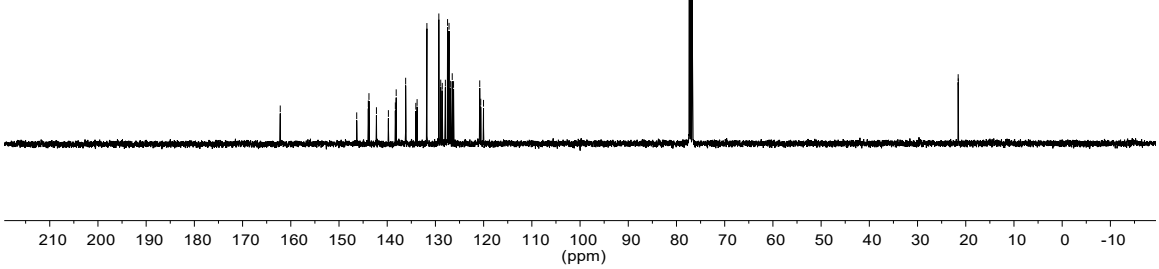
3g, ^{1}H NMR
400 MHz, $CDCl_3$

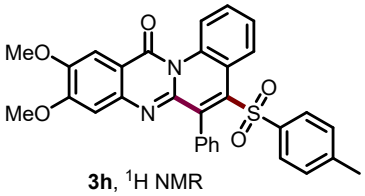




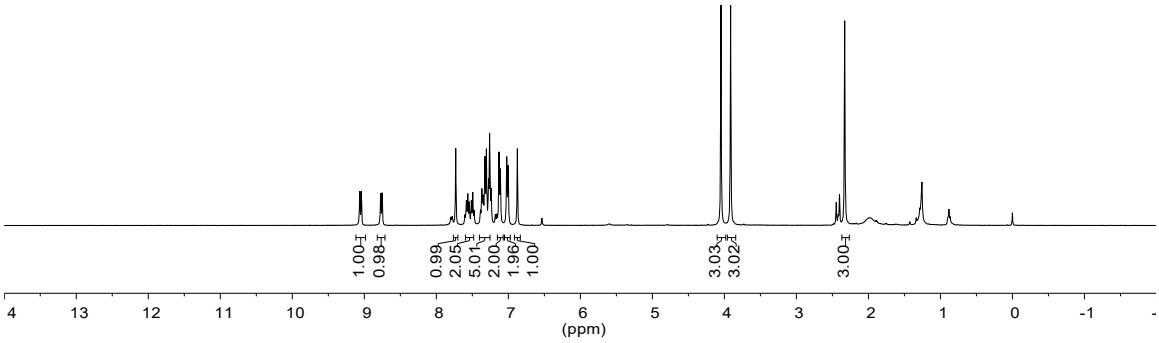


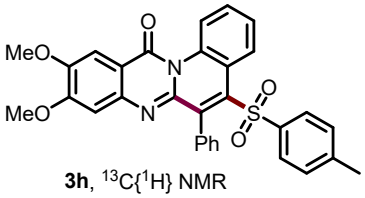
3g, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



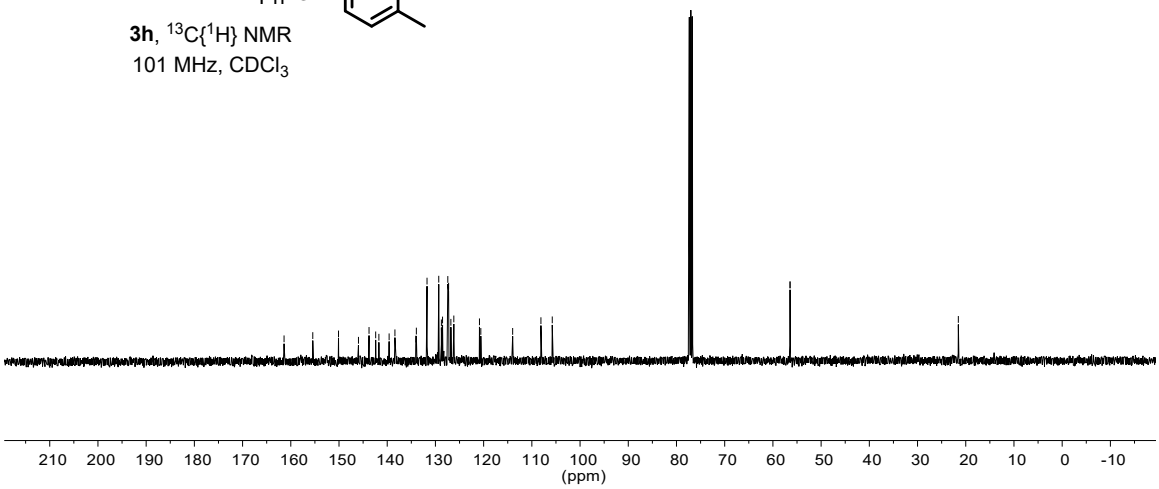


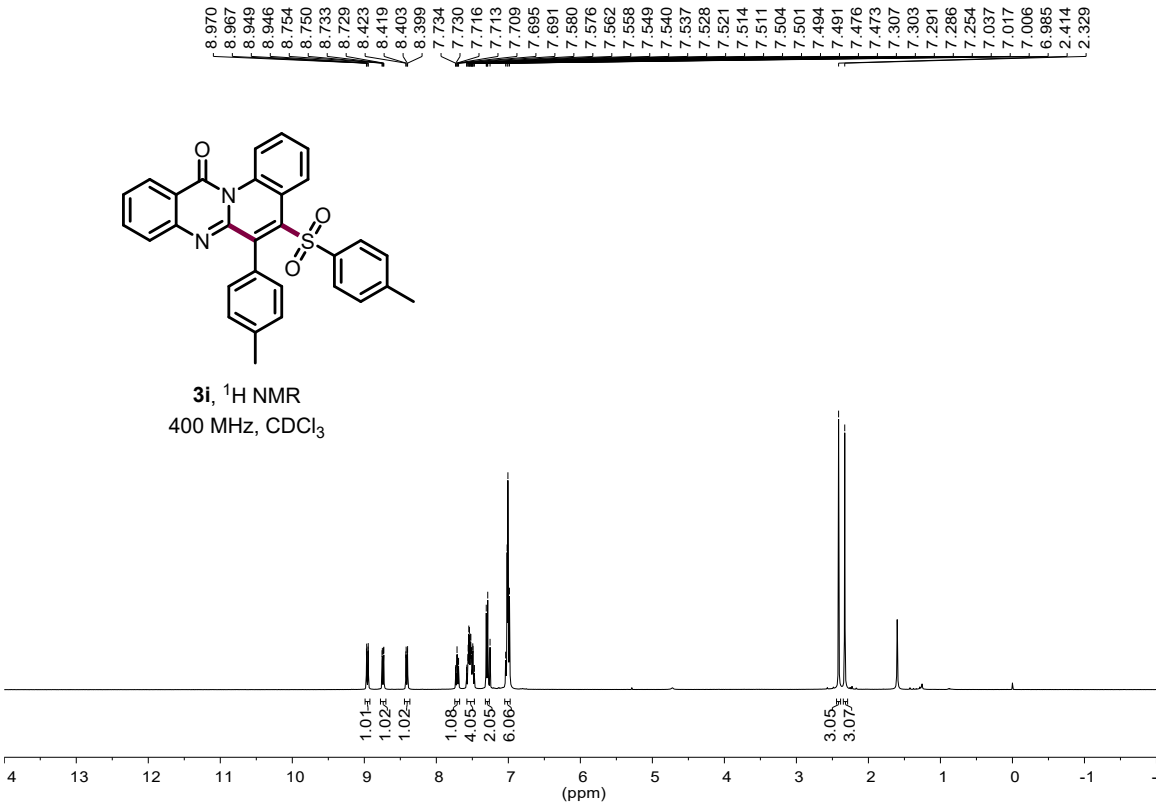
3h, ^{1}H NMR
400 MHz, $CDCl_3$



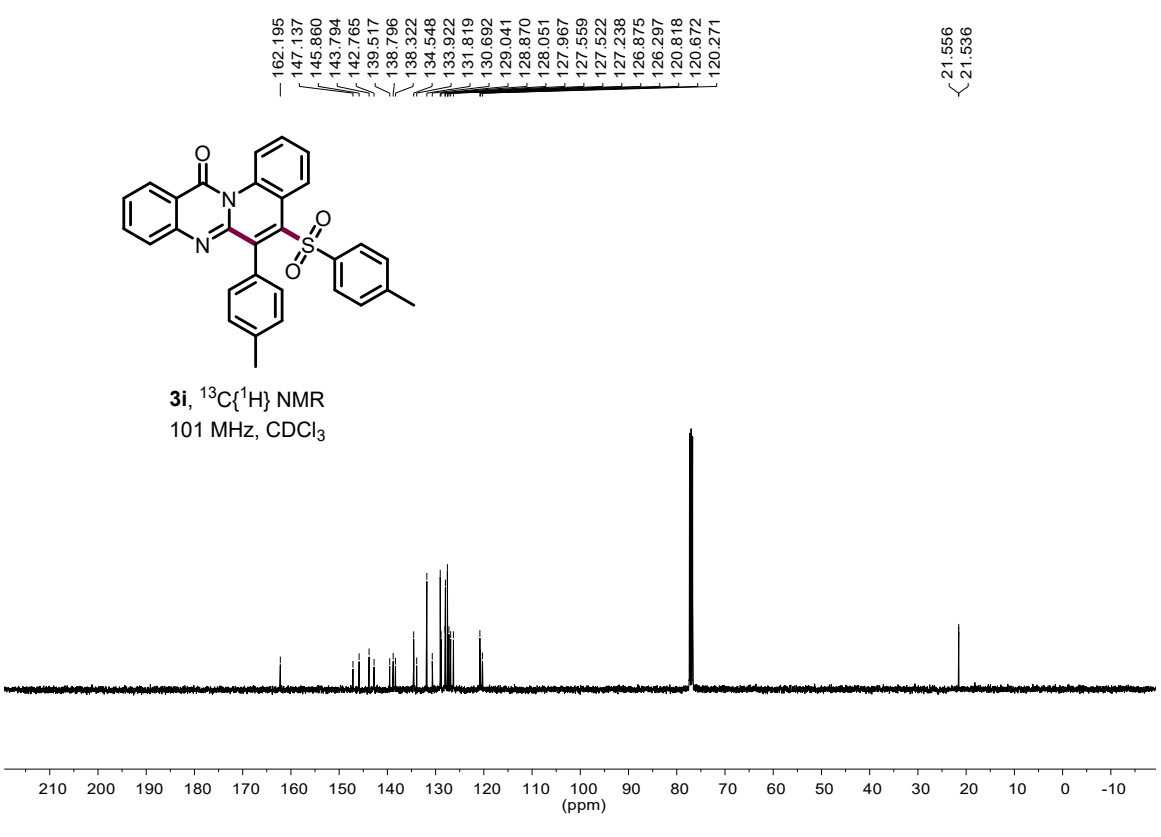


3h, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

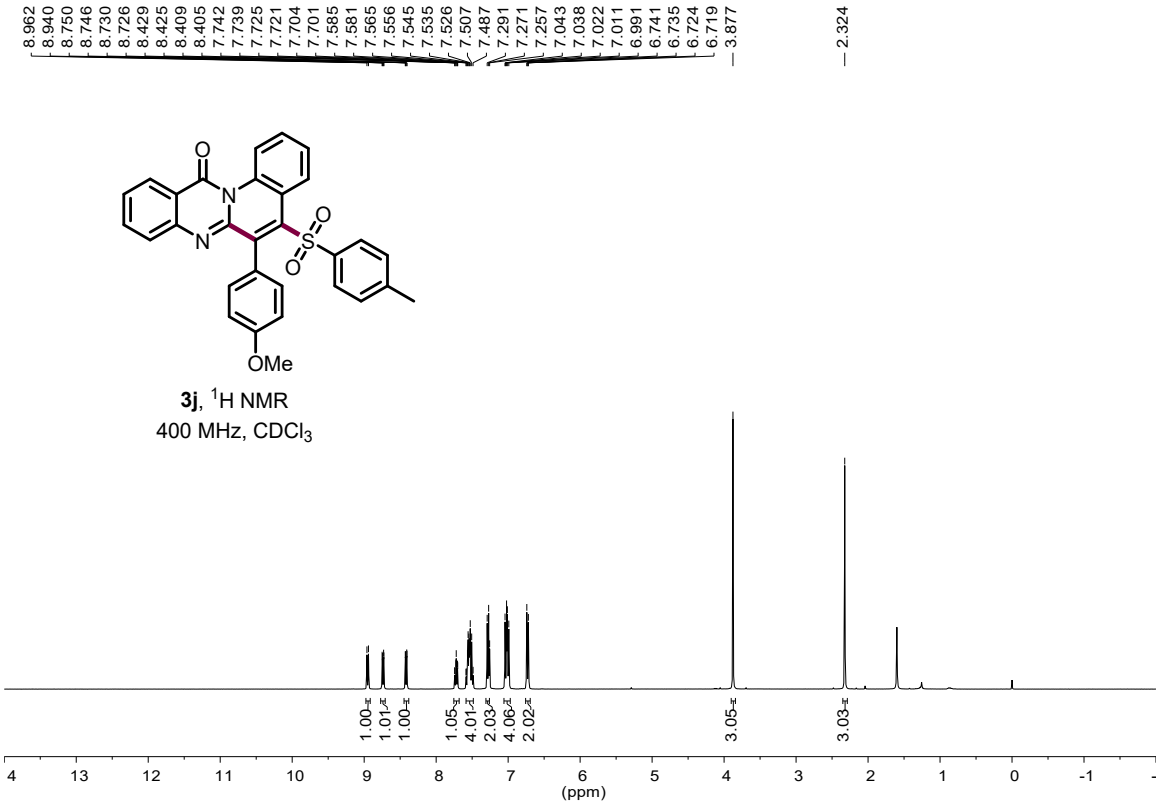




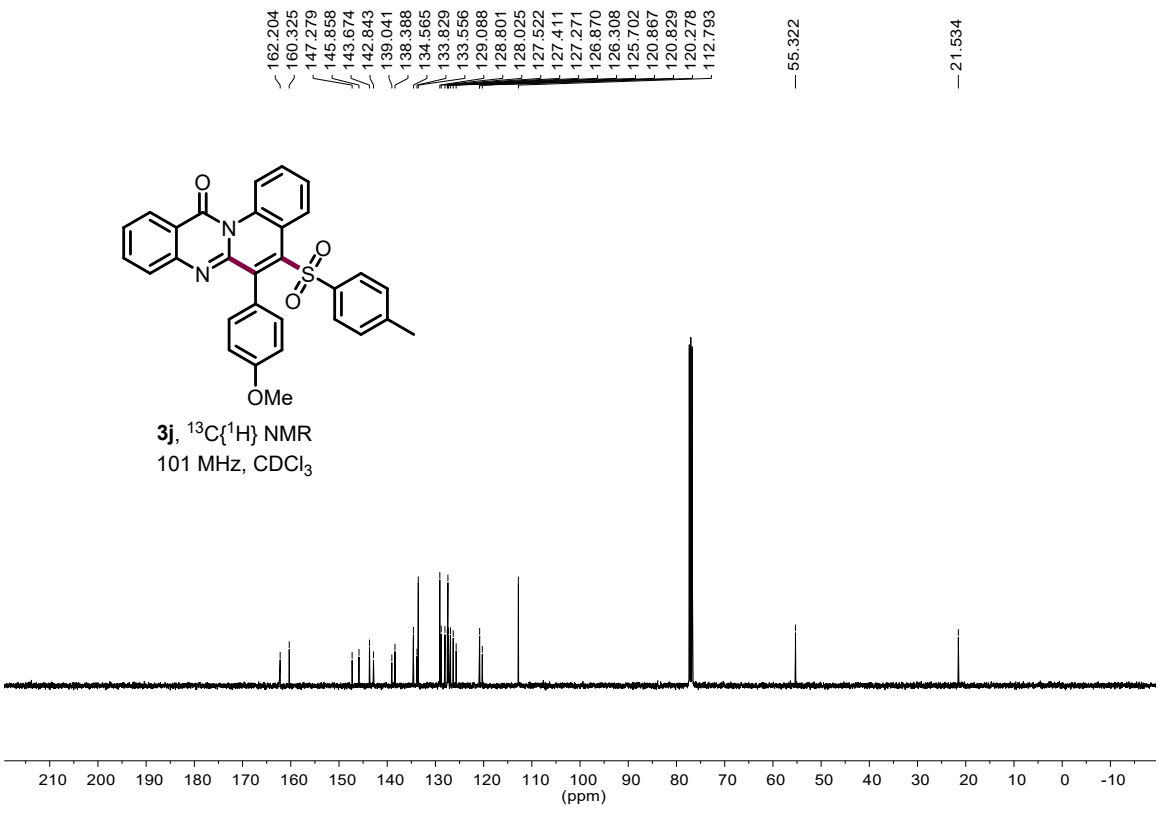
3i, ^{1}H NMR
400 MHz, $CDCl_3$



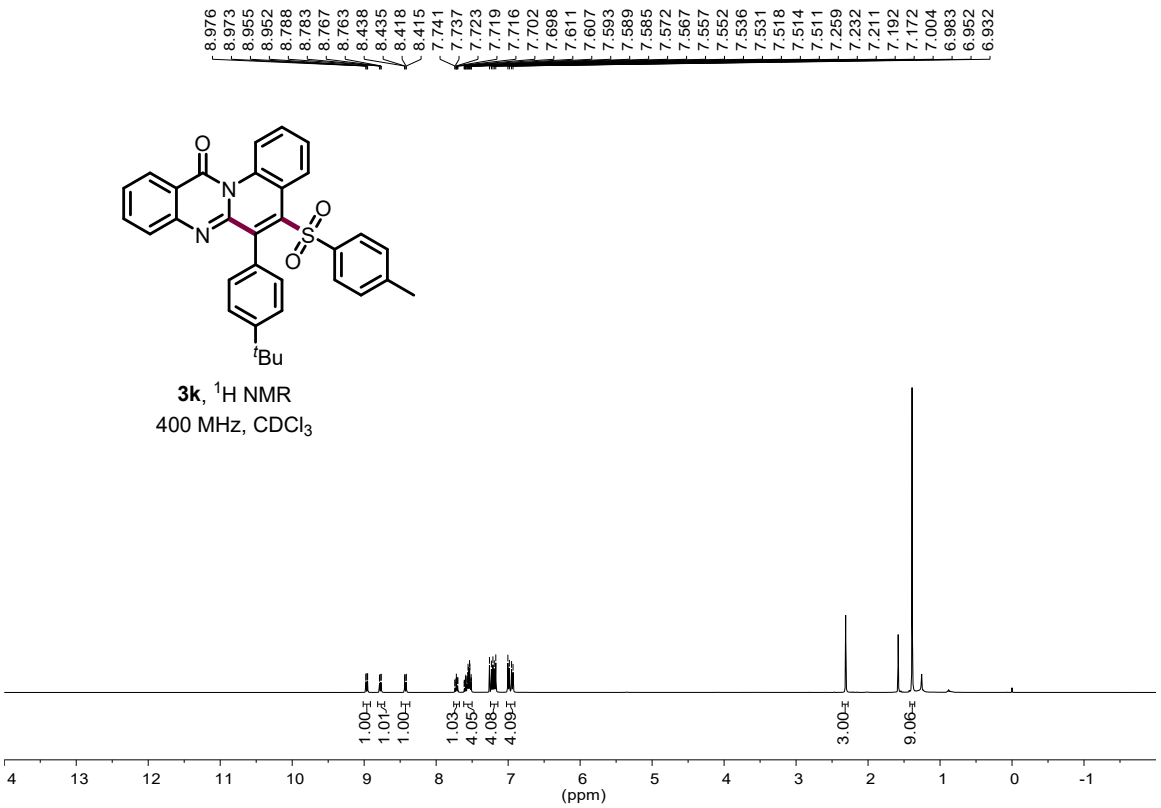
3i, ^{13}C{^{1}H} NMR
101 MHz, $CDCl_3$



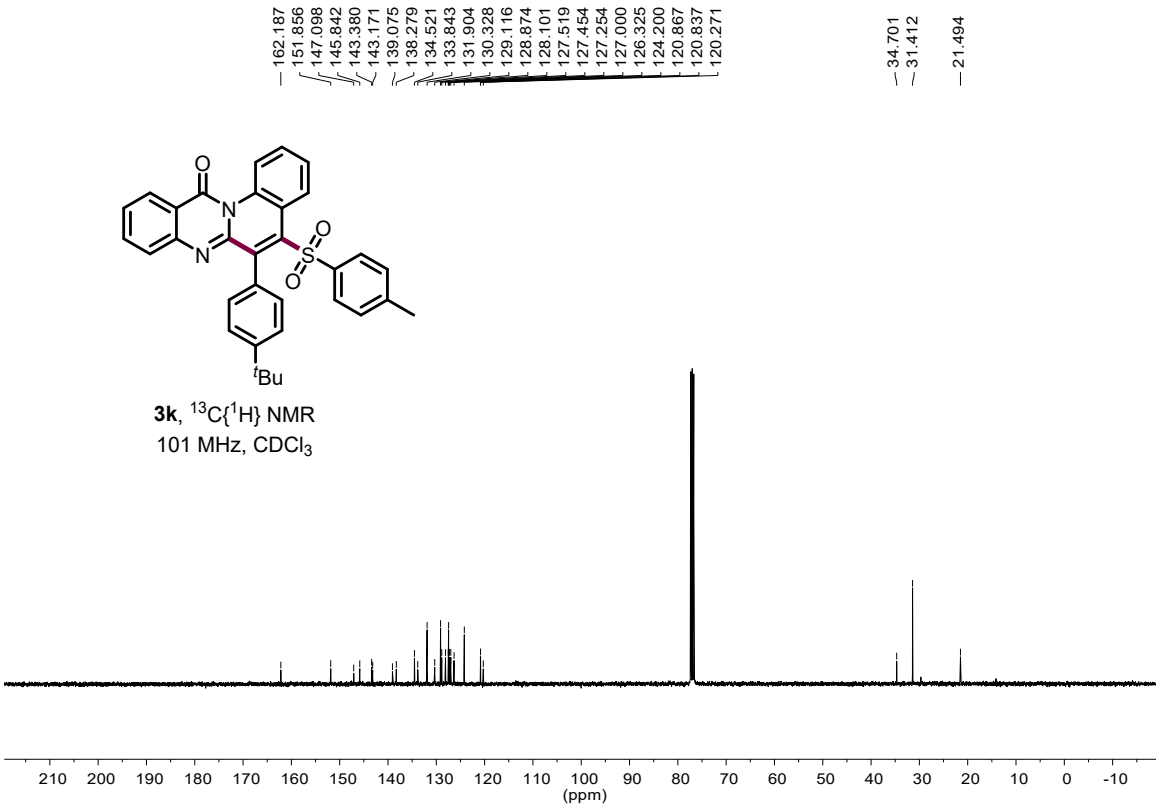
3j, ^{1}H NMR
400 MHz, $CDCl_3$



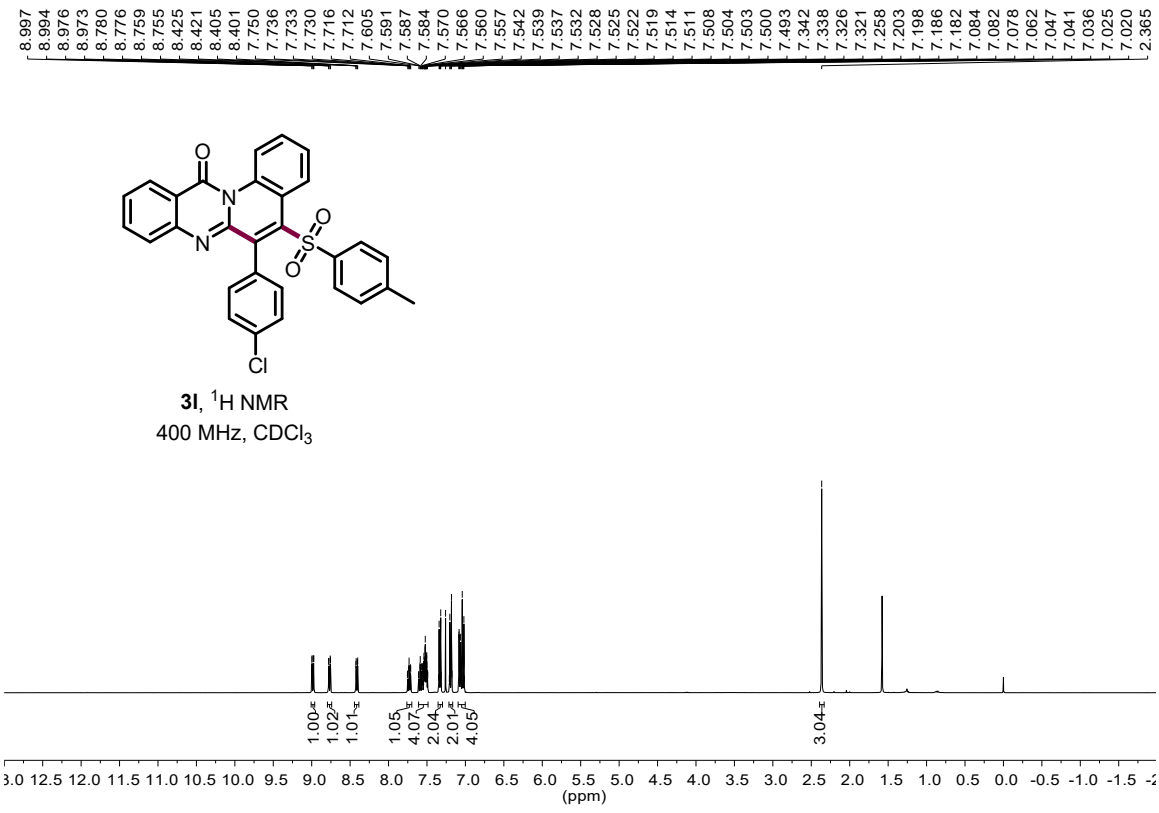
3j, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



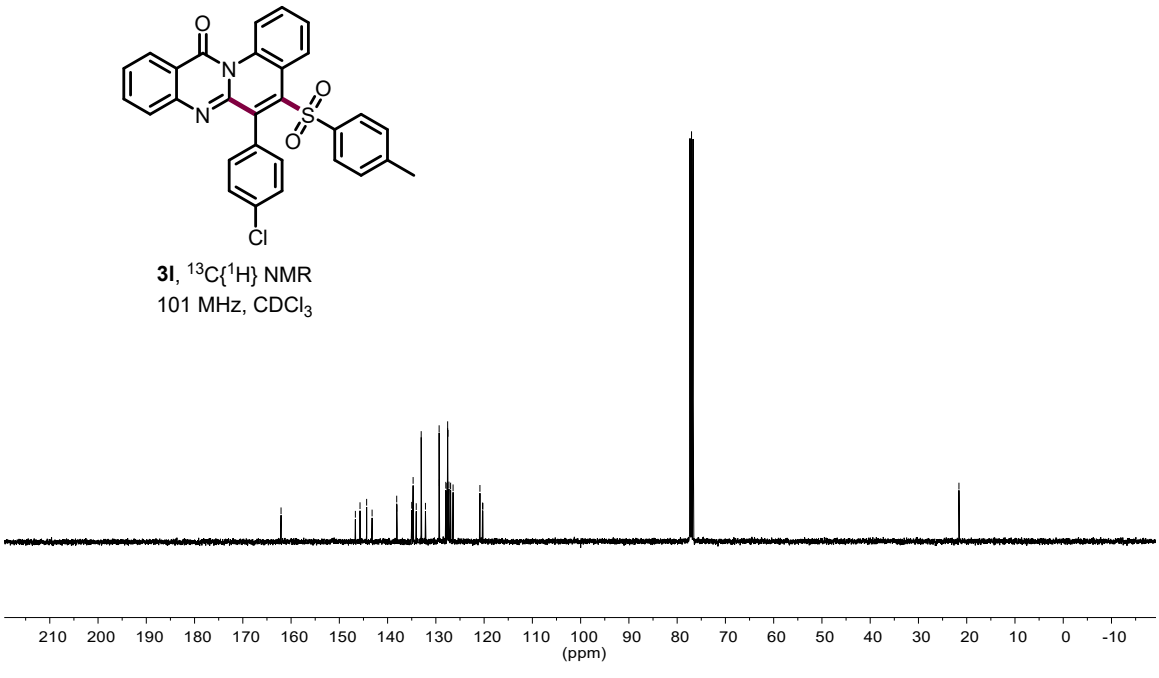
3k, ^{1}H NMR
400 MHz, $CDCl_3$



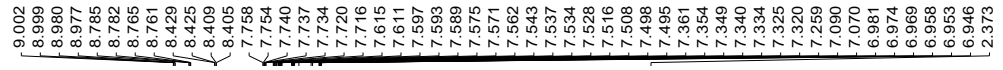
3k, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

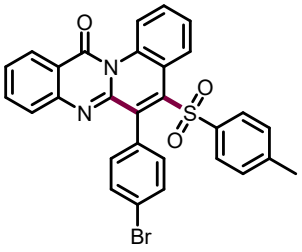


3l, ^{1}H NMR
400 MHz, $CDCl_3$

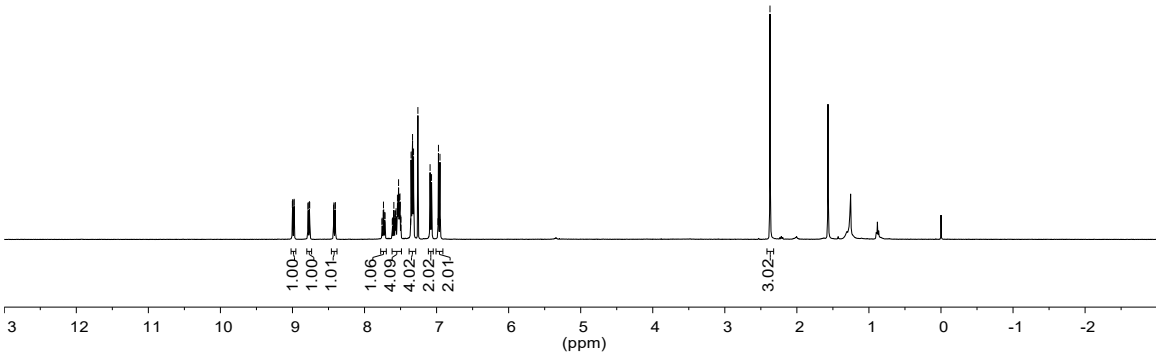


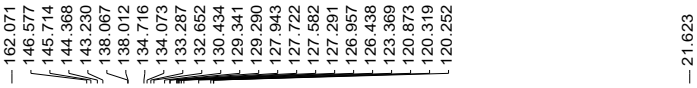
3l, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

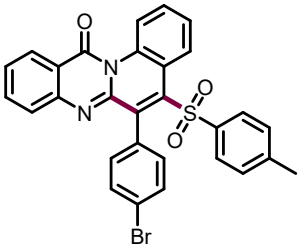




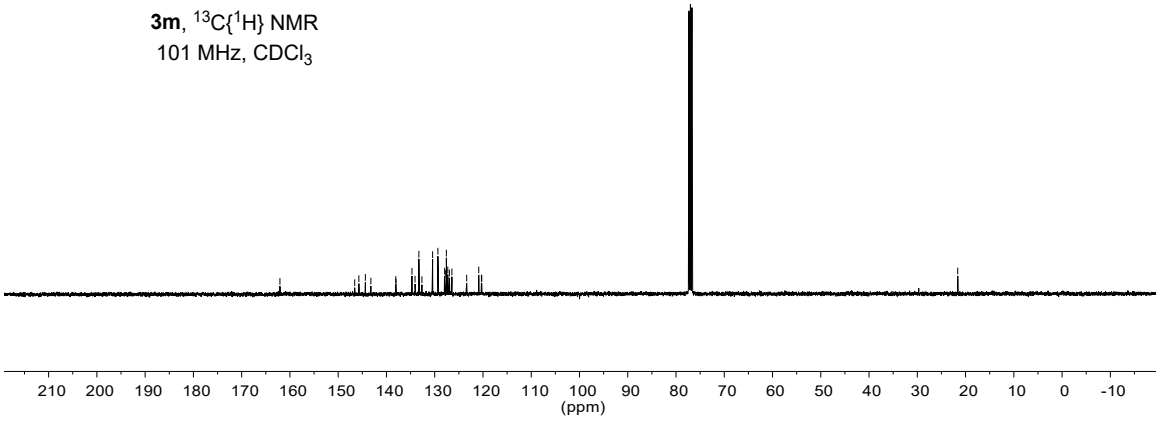
3m, ^{1}H NMR
400 MHz, $CDCl_3$

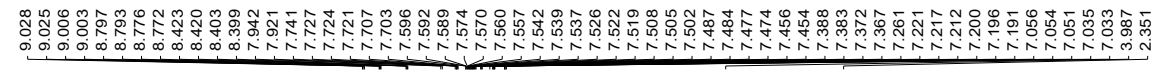


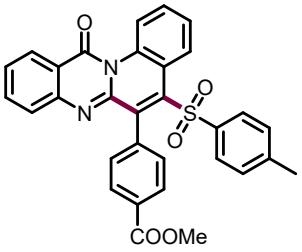




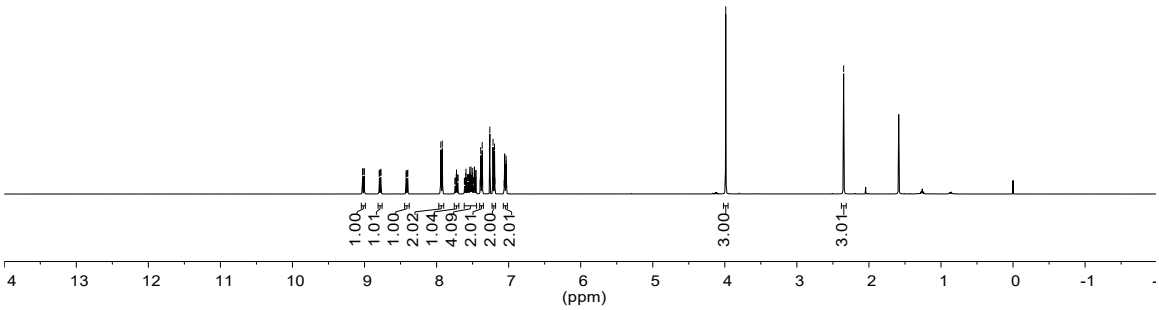
3m, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

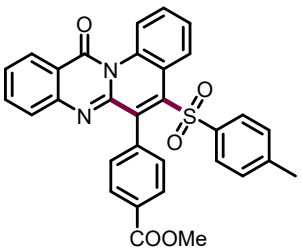




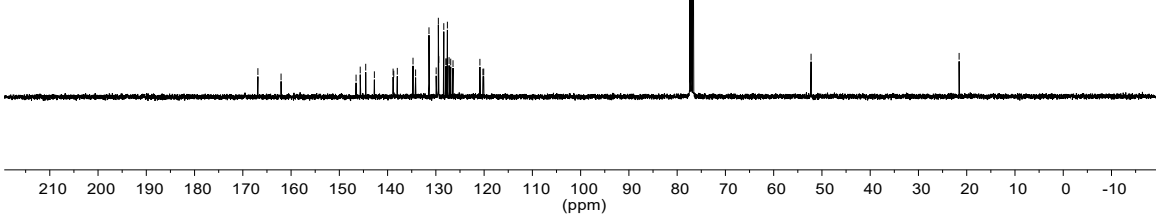


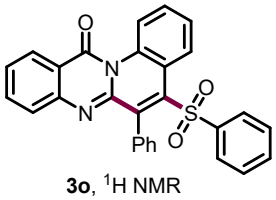
3n, ^{1}H NMR
400 MHz, $CDCl_3$



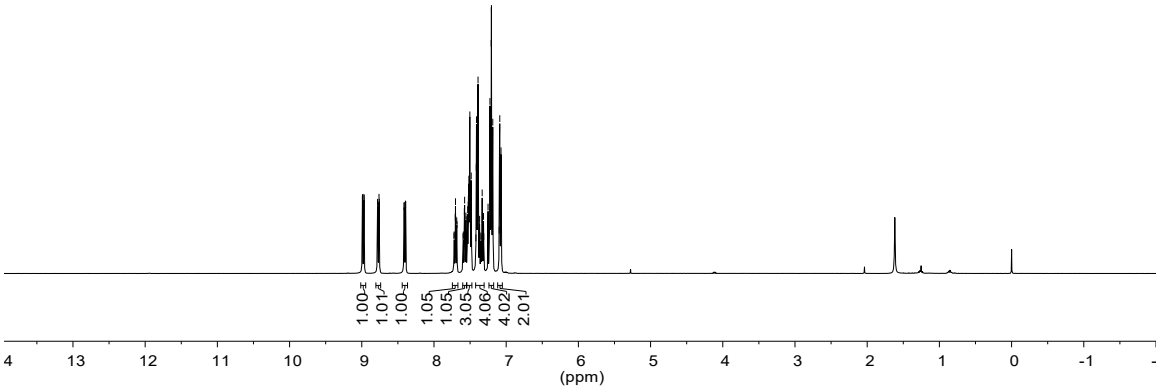


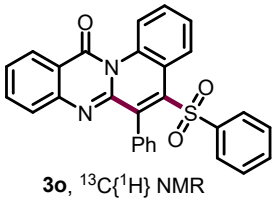
3n, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



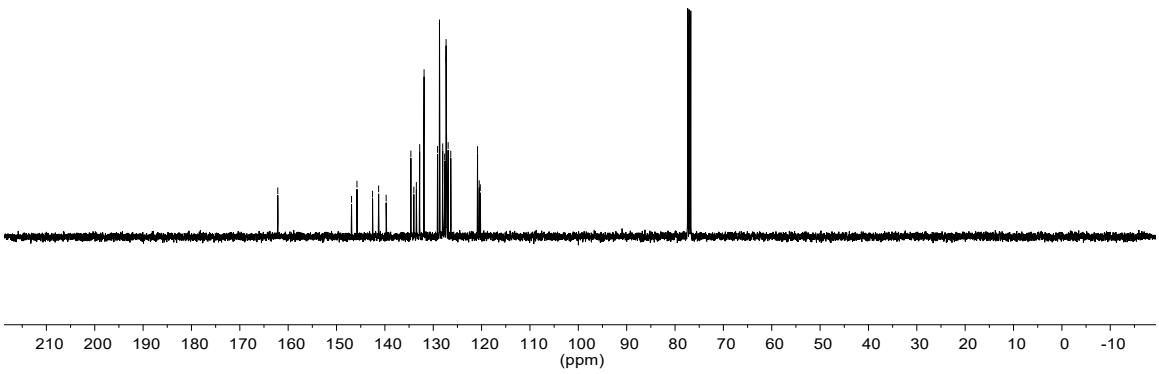


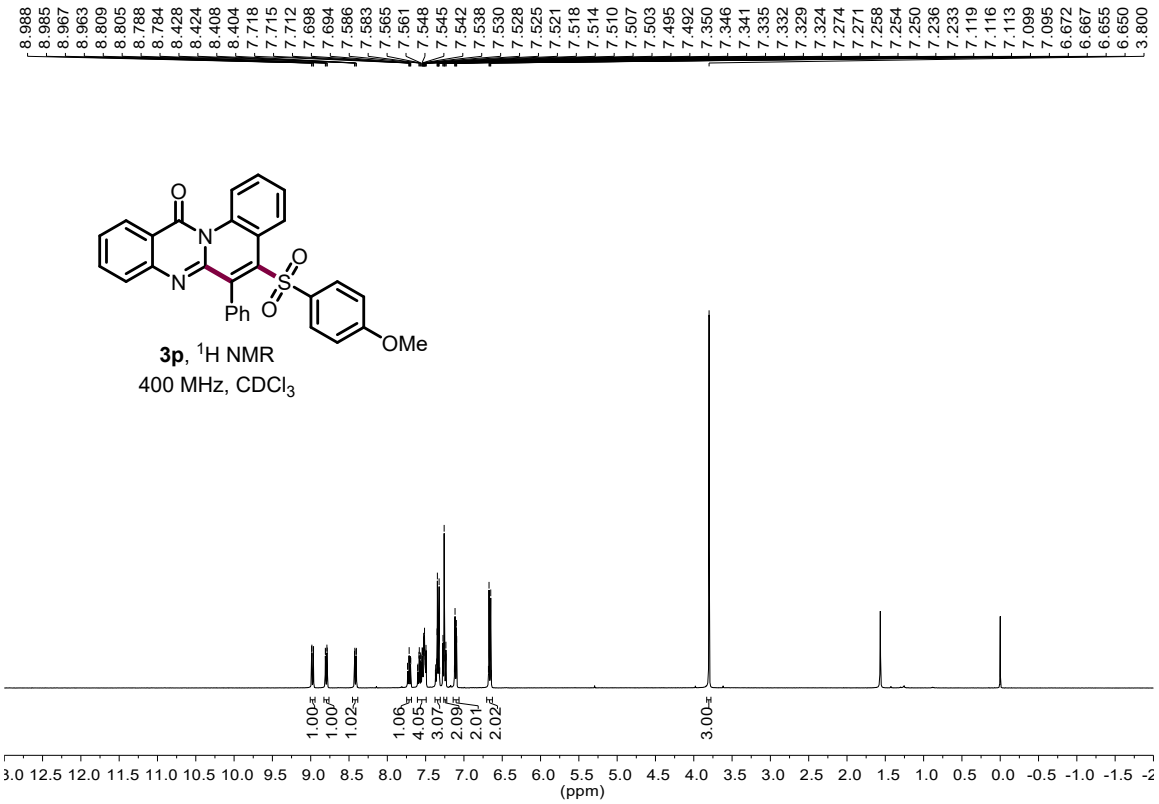
3o, ^{1}H NMR
400 MHz, $CDCl_3$





3o, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

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7.095
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6.667
6.655
6.650
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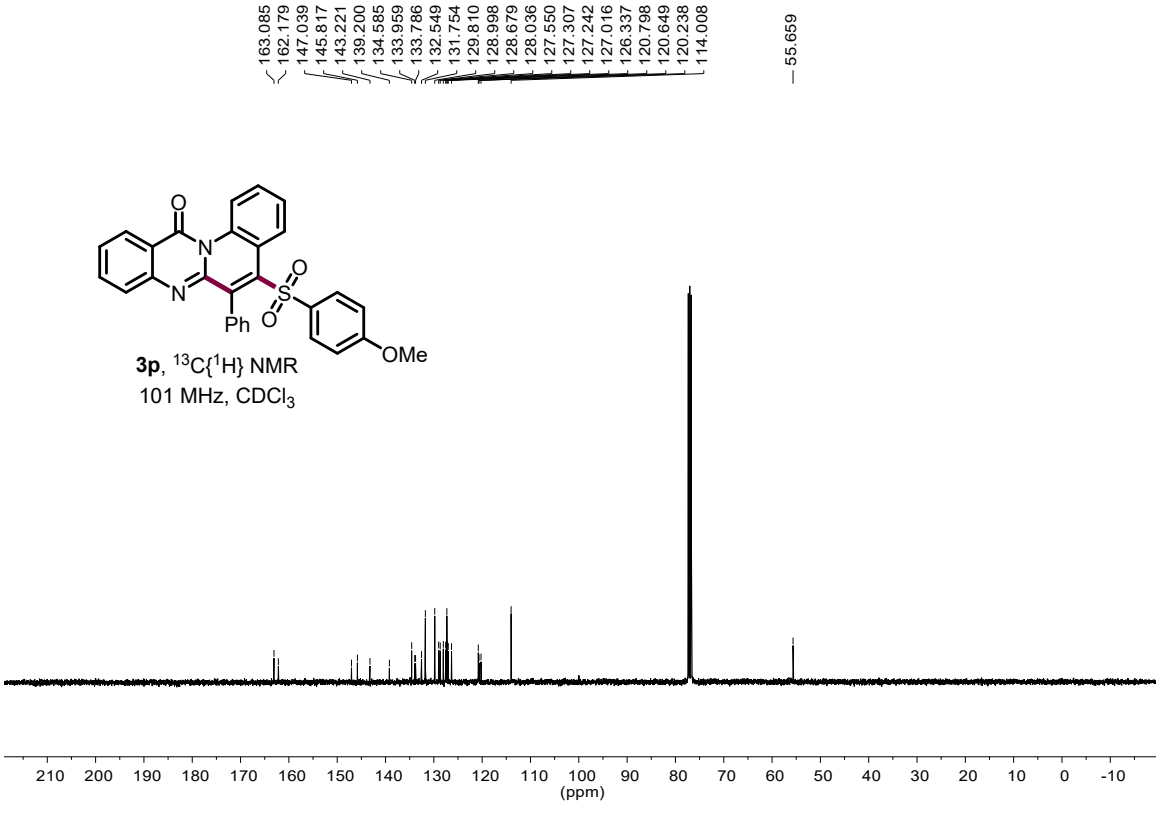
N
N
S
O
O
Ph
OMe
3p, 1H NMR
400 MHz, CDCl3
1.00
1.00
1.02
1.06
4.05
3.07
2.09
2.01
2.02
3.00
(ppm)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127.307
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127.016
126.337
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120.649
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Ph
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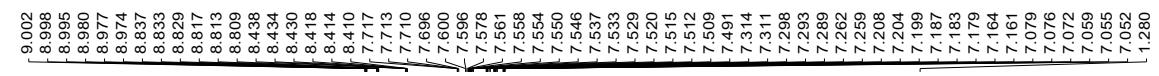
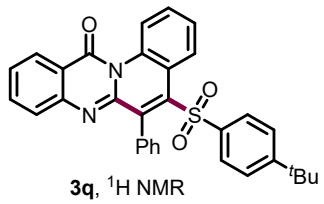
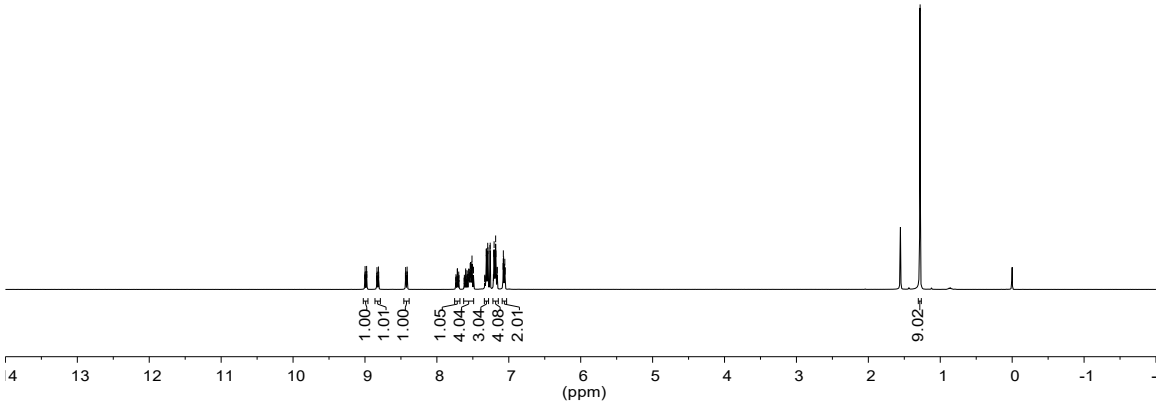
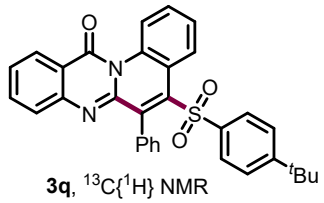
101 MHz, CDCl3
(ppm)



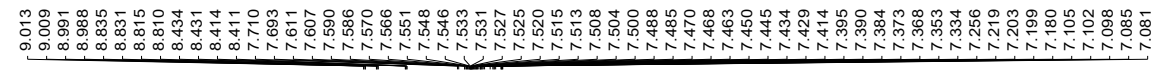


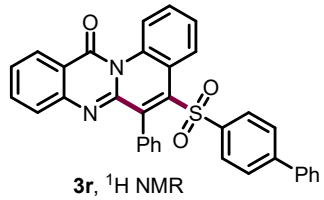
3q, ^{1}H NMR
400 MHz, $CDCl_3$



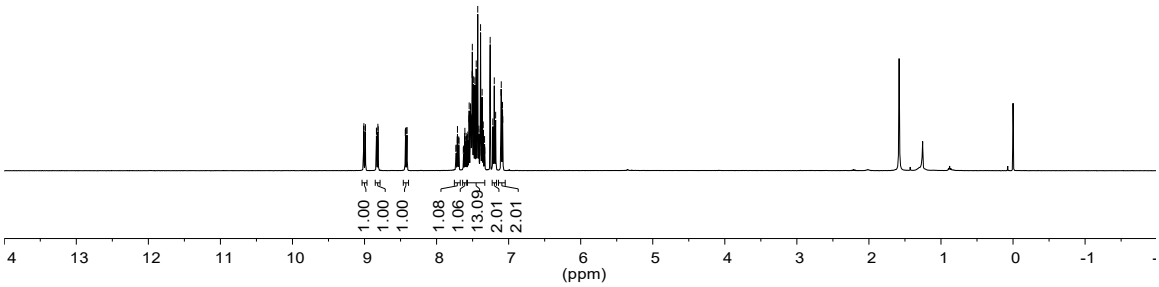


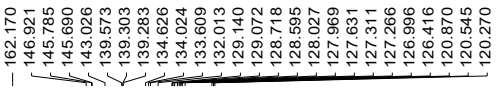
3q, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

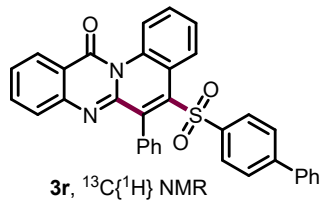




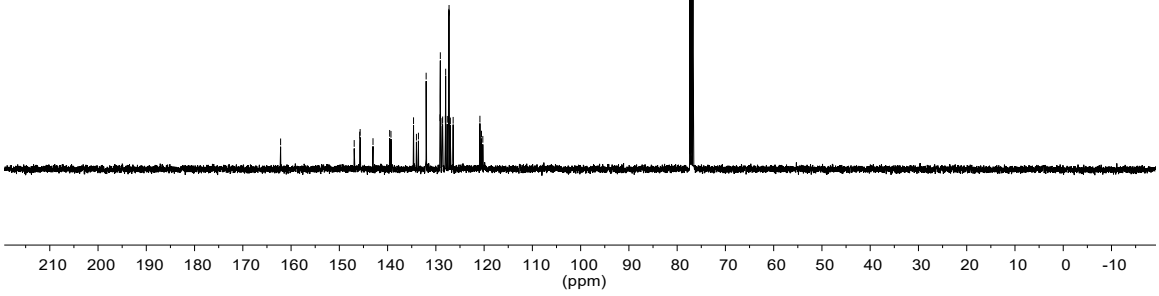
3r, ^{1}H NMR
400 MHz, $CDCl_3$

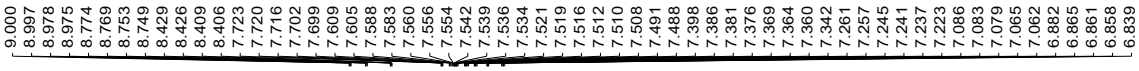


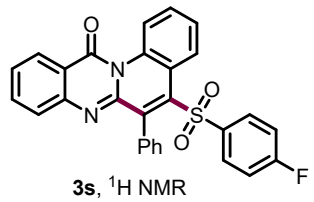




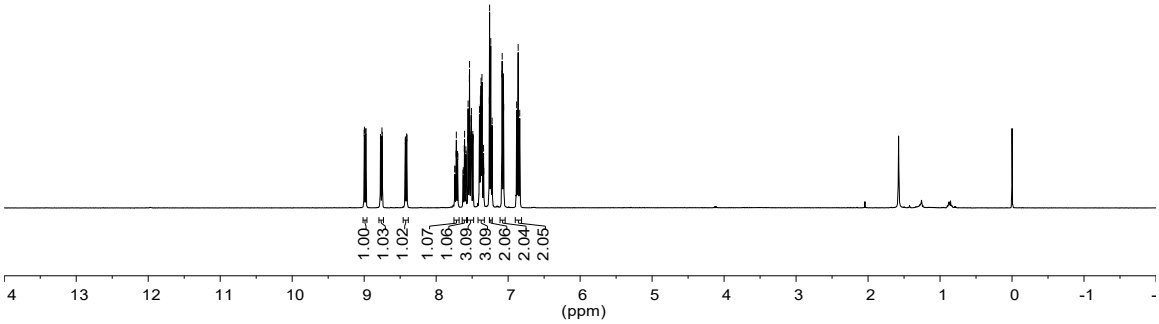
3r, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

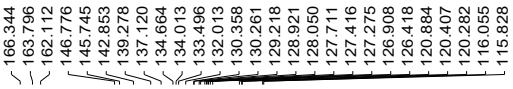


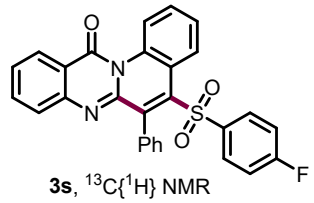




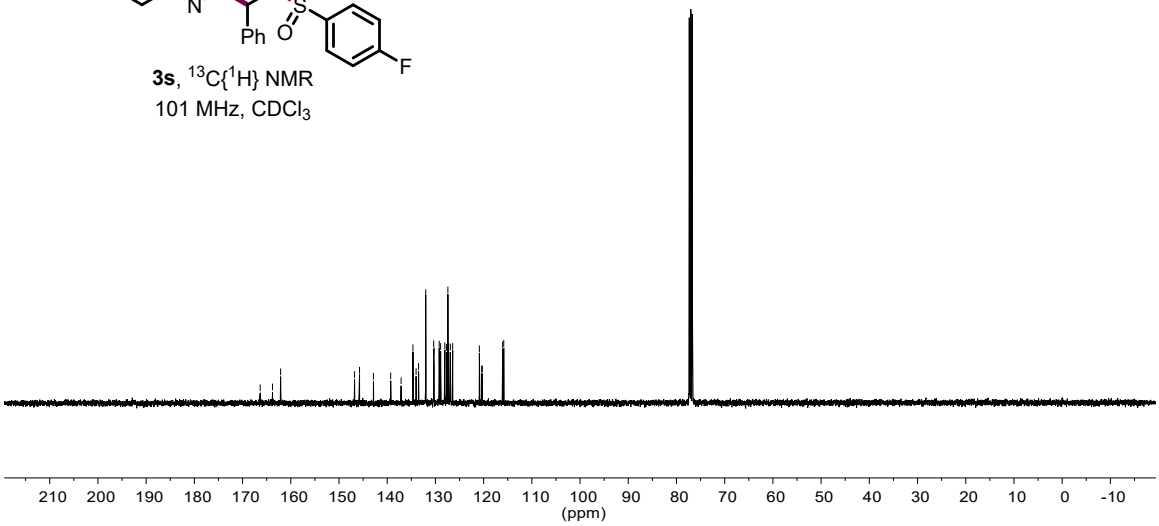
3s, ^{1}H NMR
400 MHz, $CDCl_3$

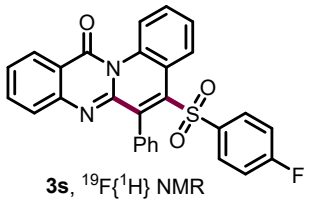




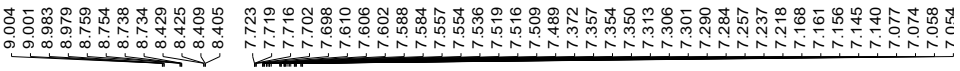


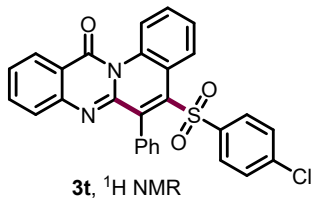
3s, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



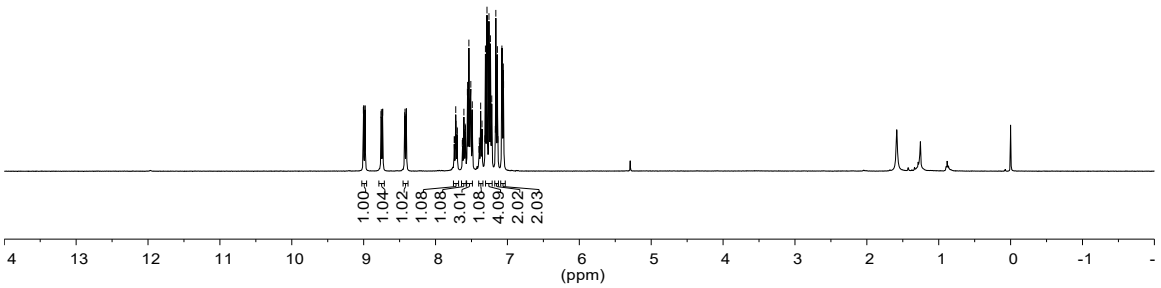


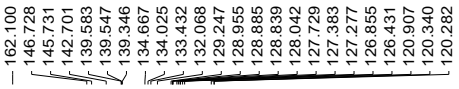
3s, $^{19}F\{^{1}H\}$ NMR
376 MHz, $CDCl_3$

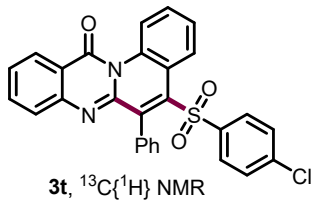




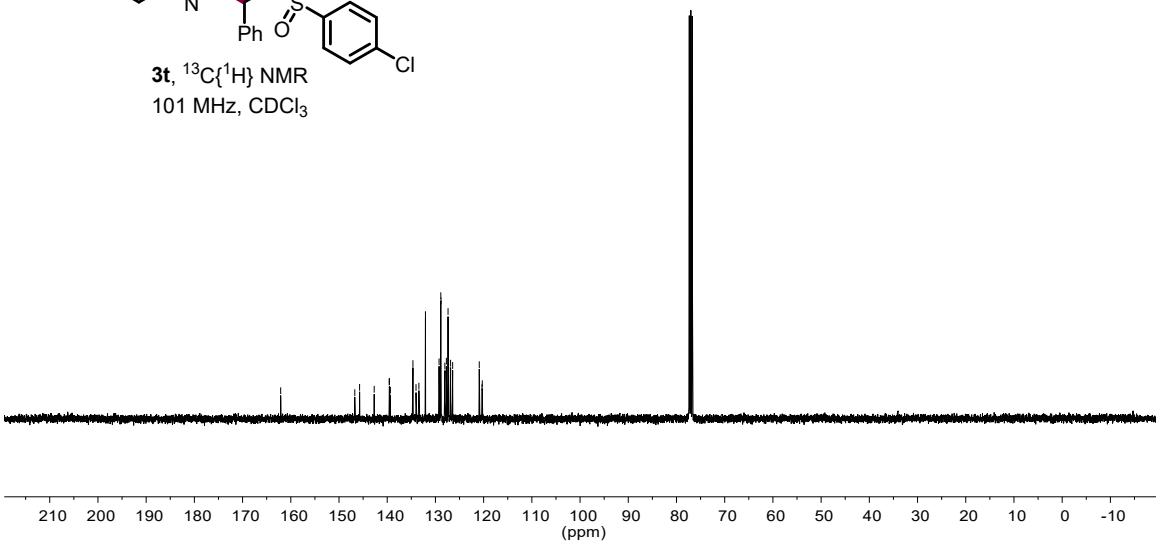
3t, ^{1}H NMR
400 MHz, $CDCl_3$

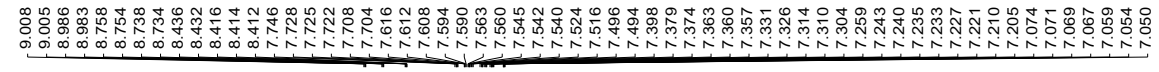


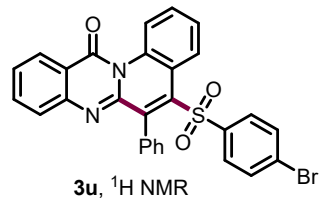




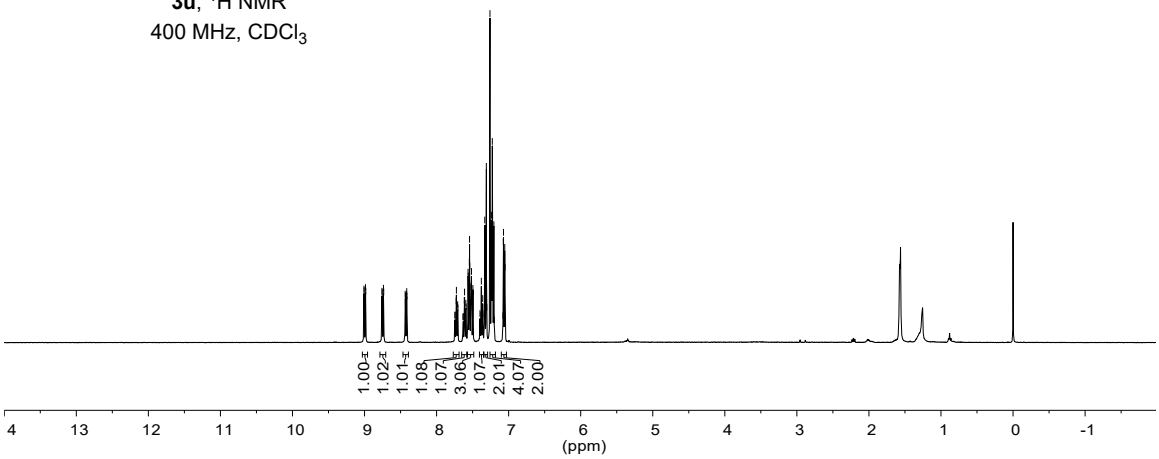
3t, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

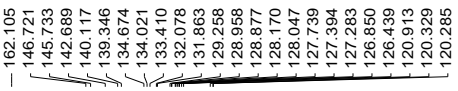


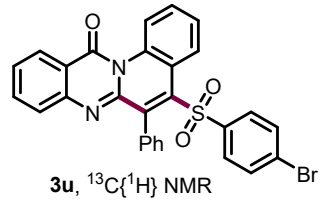




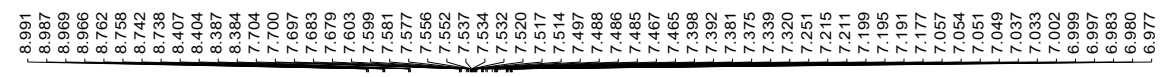
3u, ^{1}H NMR
400 MHz, $CDCl_3$

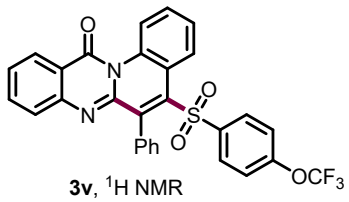




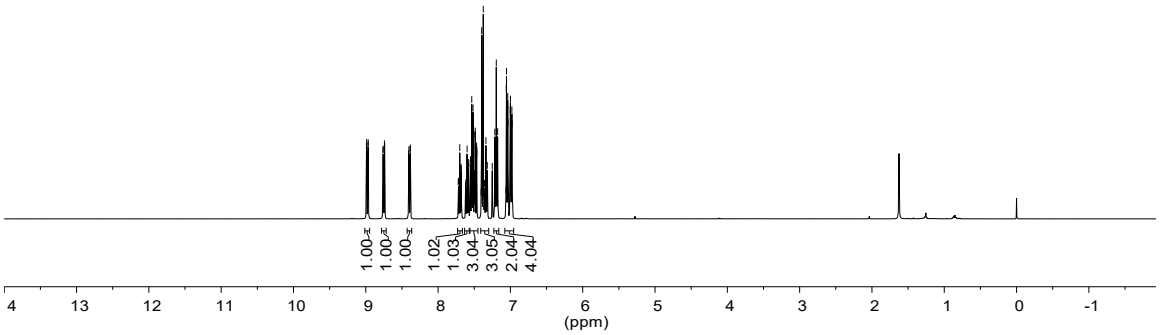


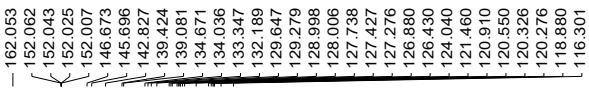
3u, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

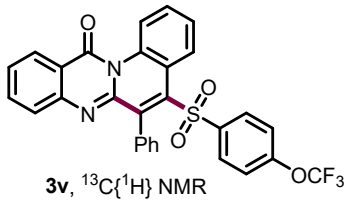




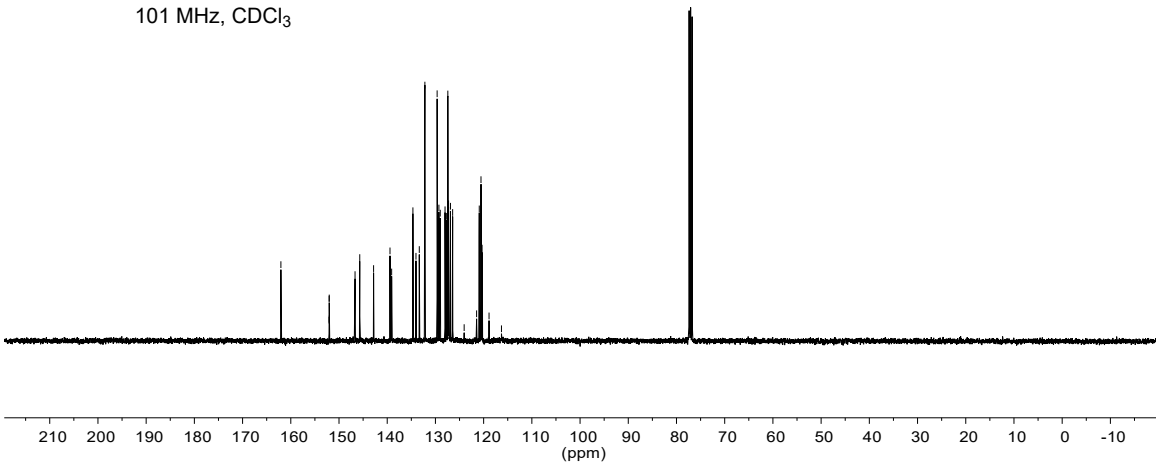
3v, ^{1}H NMR
400 MHz, $CDCl_3$

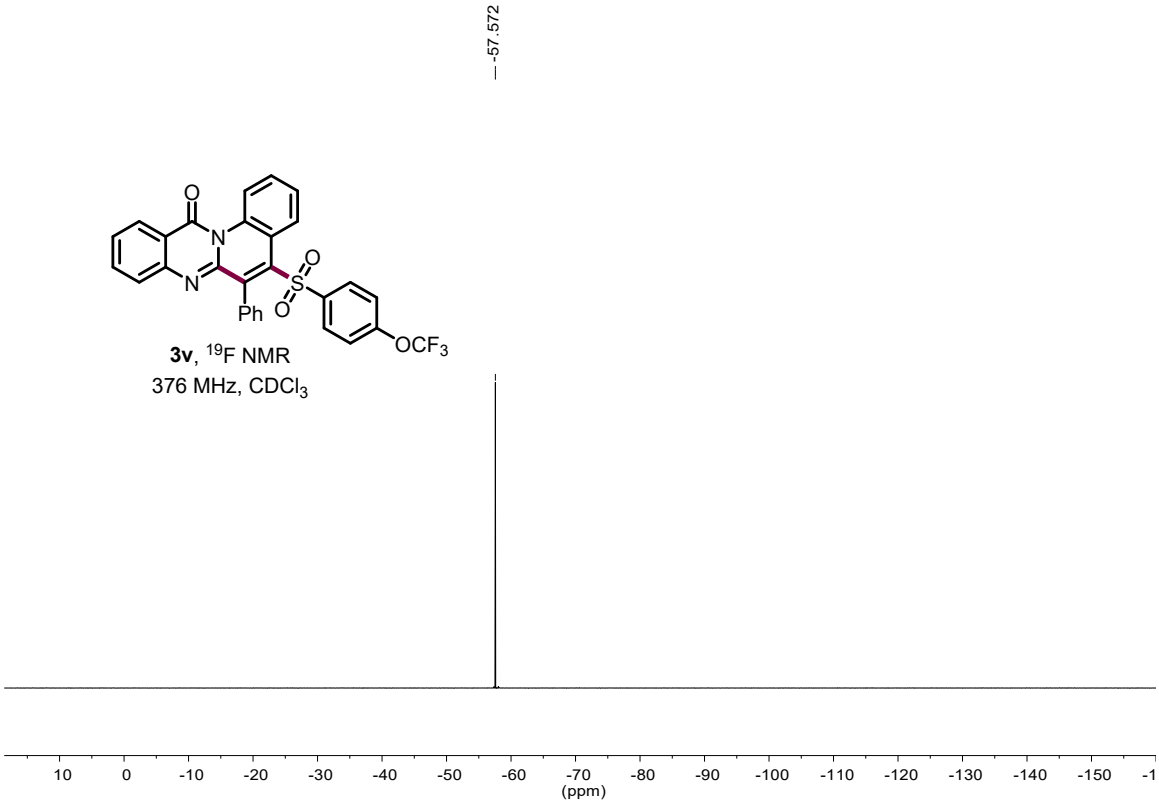




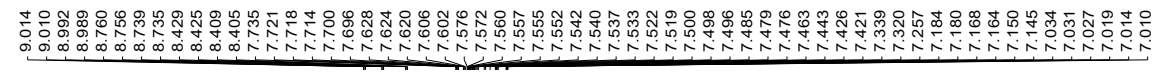


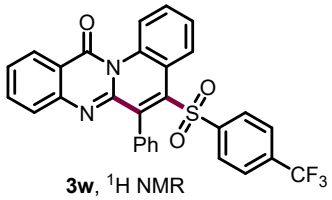
3v, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



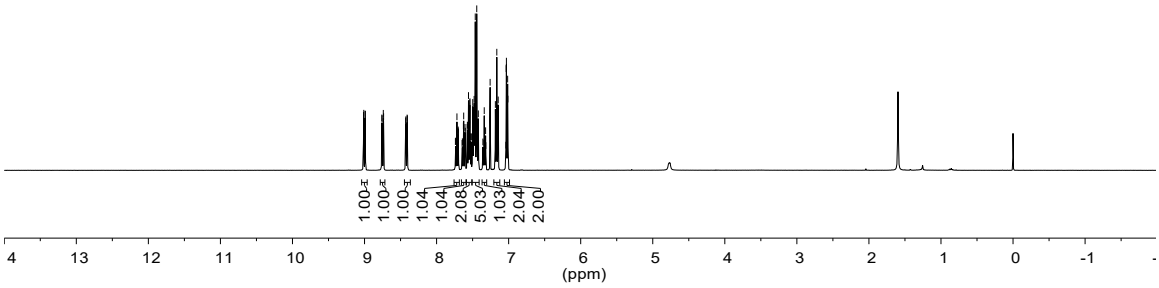


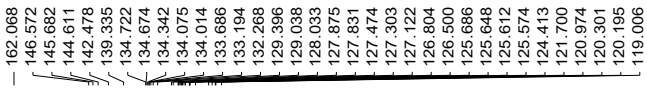
3v, ^{19}F NMR
376 MHz, $CDCl_3$

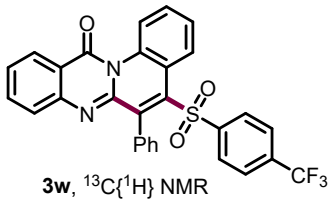




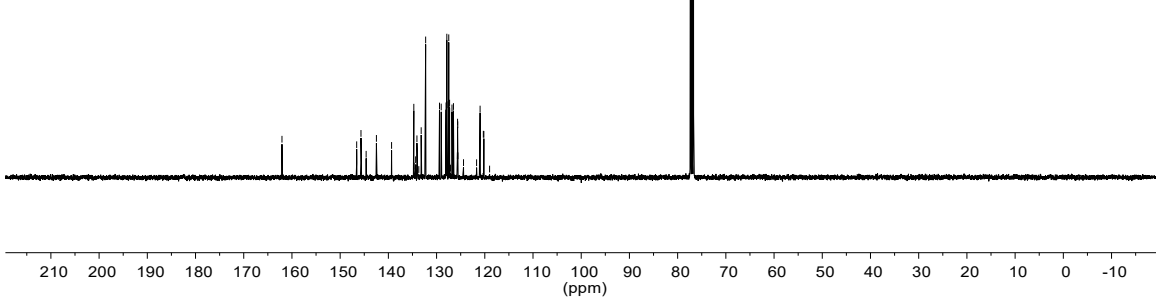
3w, ^{1}H NMR
400 MHz, $CDCl_3$

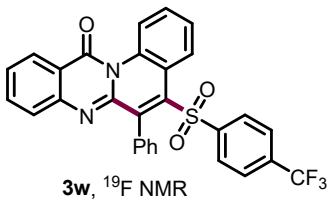




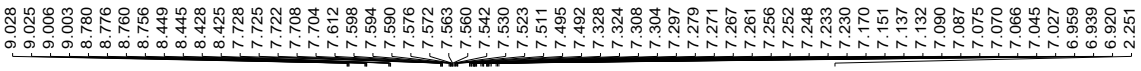


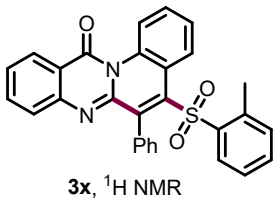
3w, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



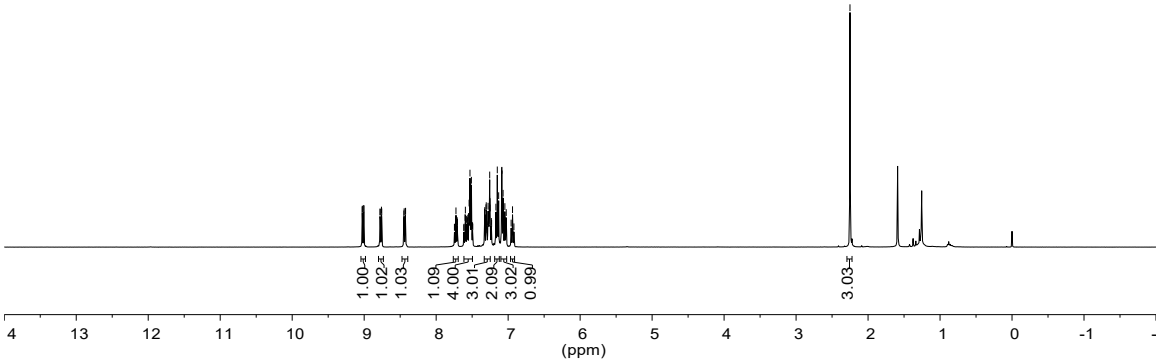


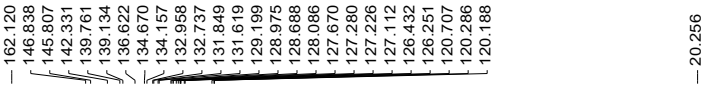
3w, ^{19}F NMR
376 MHz, $CDCl_3$

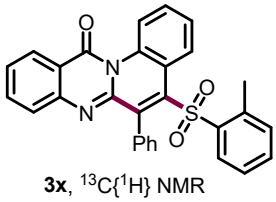




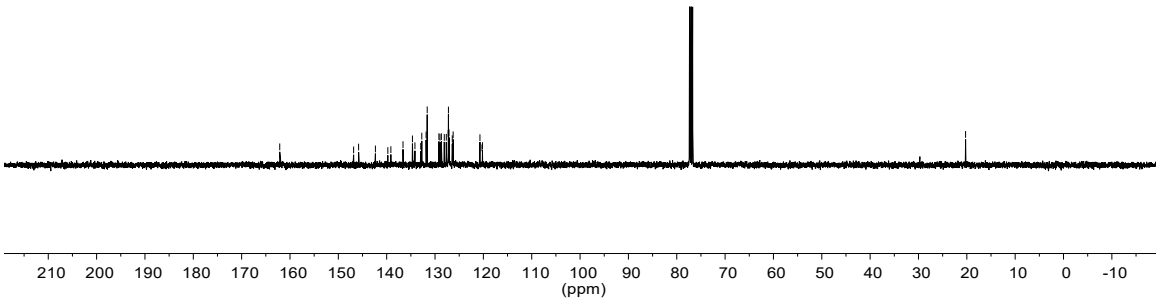
3x, ^{1}H NMR
400 MHz, $CDCl_3$

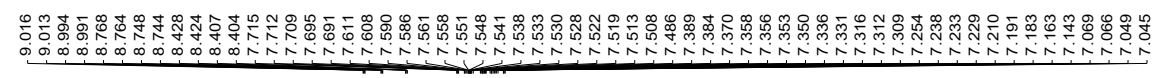


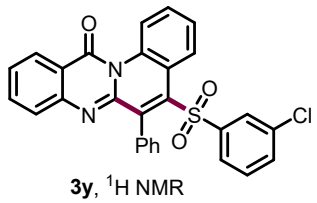




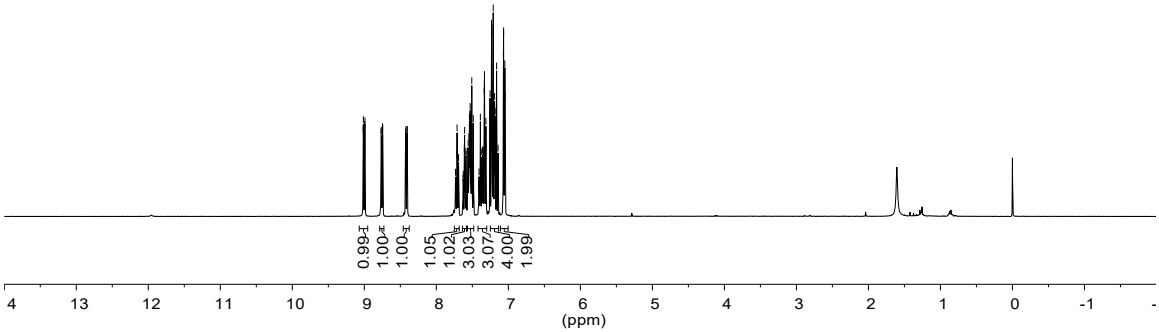
3x, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

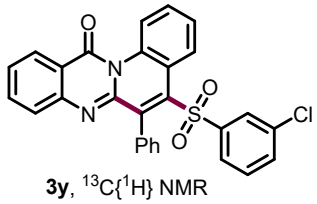




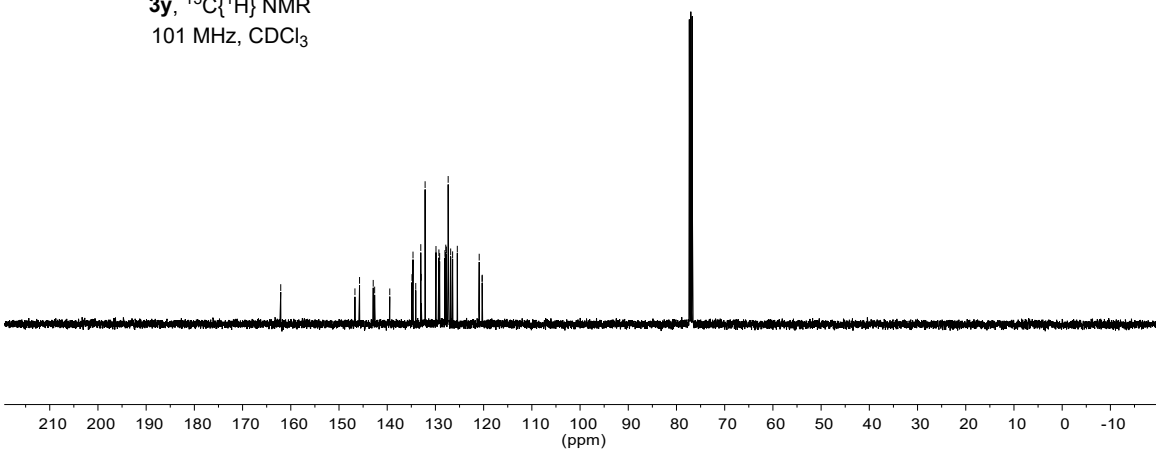


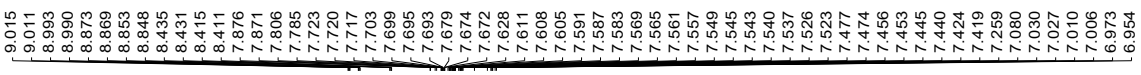
3y, ^{1}H NMR
400 MHz, $CDCl_3$

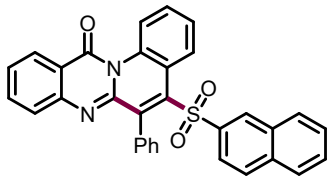




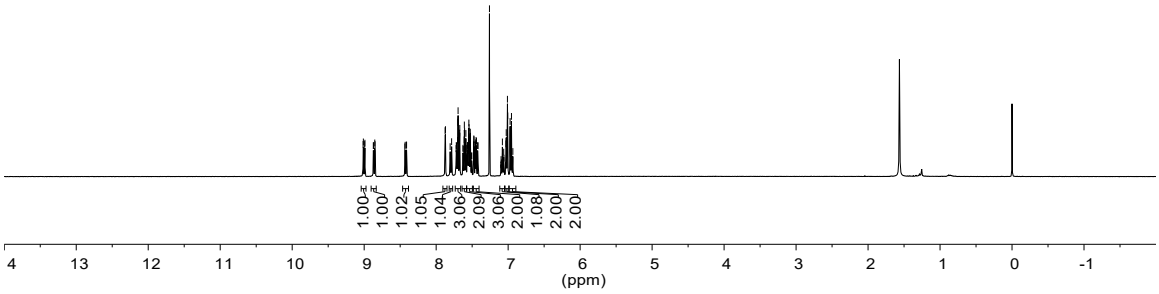
3y, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

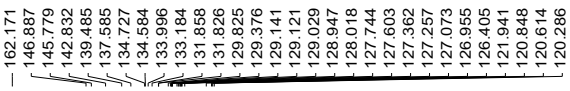


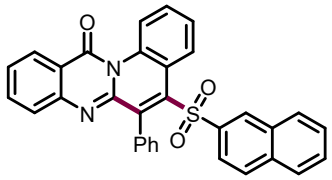




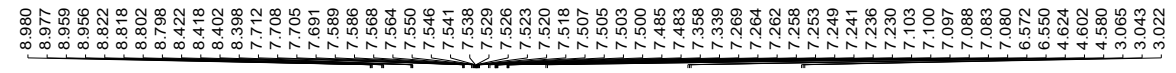
3z, ^{1}H NMR
400 MHz, $CDCl_3$

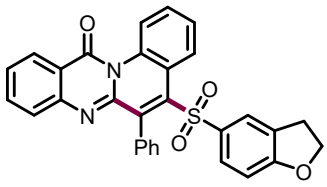




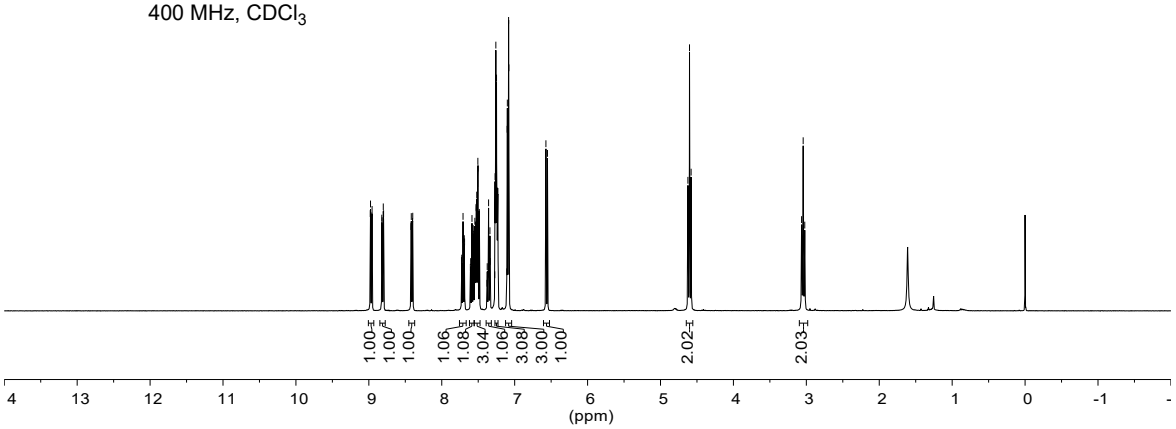


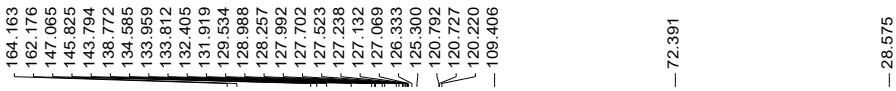
3z, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

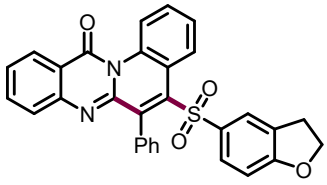




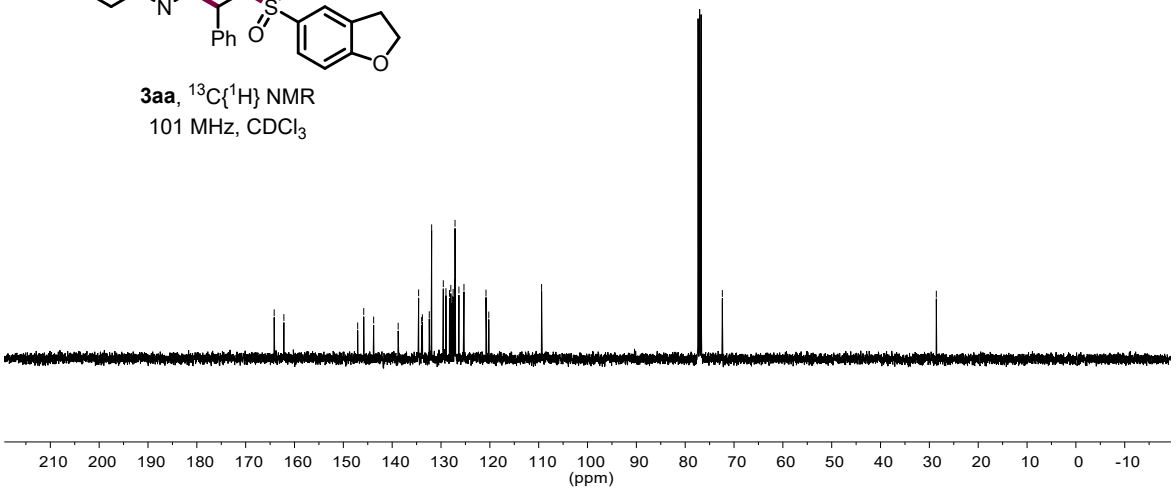
3aa, ^{1}H NMR
400 MHz, $CDCl_3$

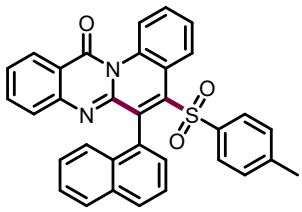




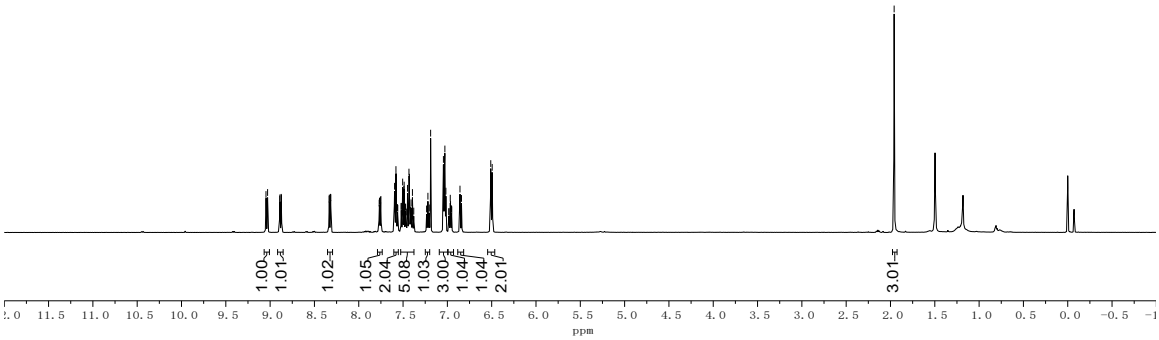


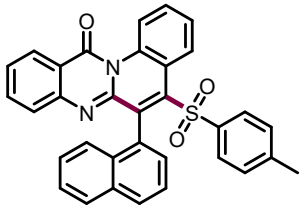
3aa, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



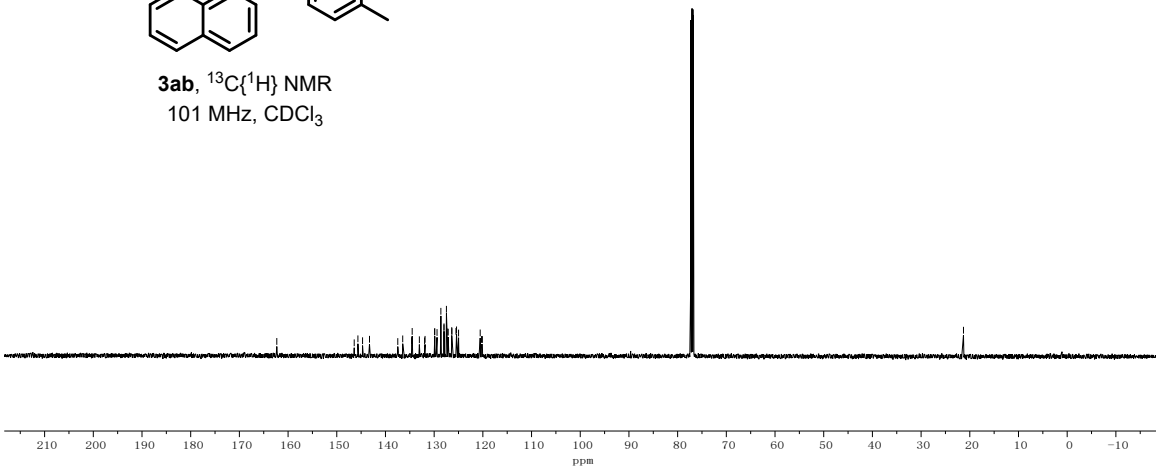


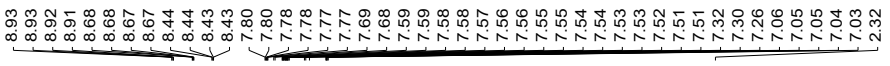
3ab, ^{1}H NMR
400 MHz, $CDCl_3$

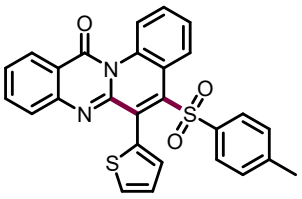




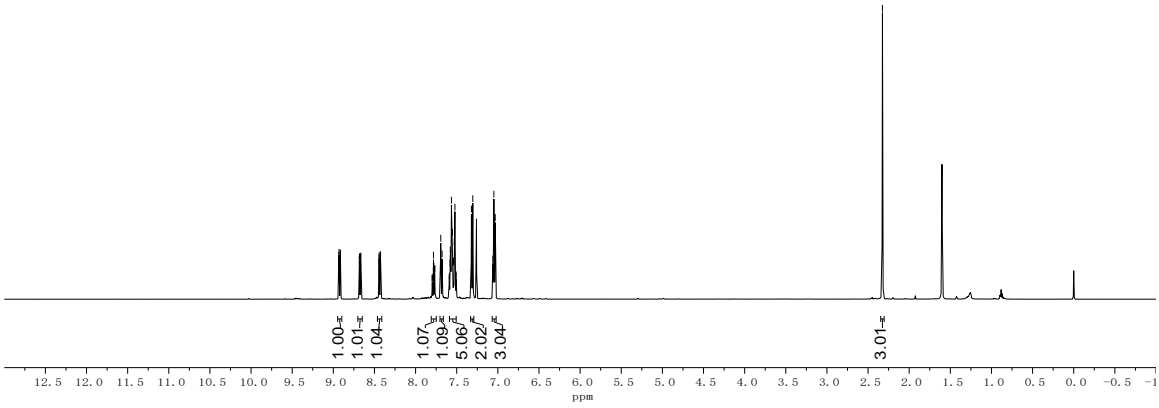
3ab, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$

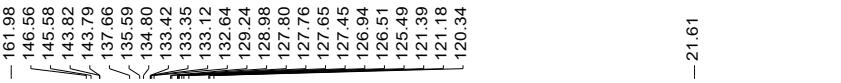


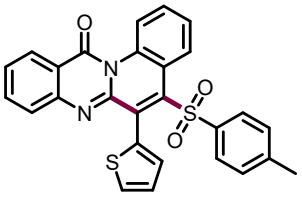




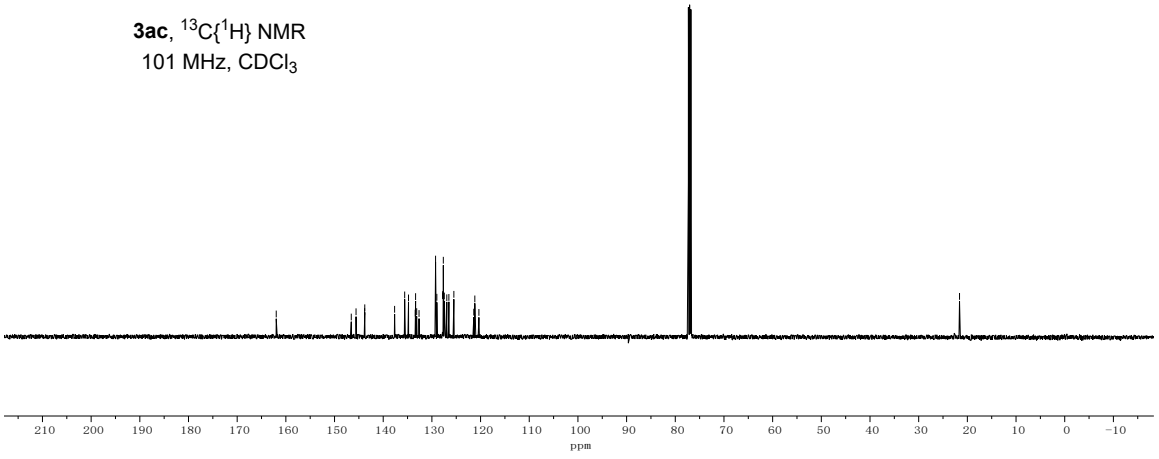
3ac, ^{1}H NMR
400 MHz, $CDCl_3$

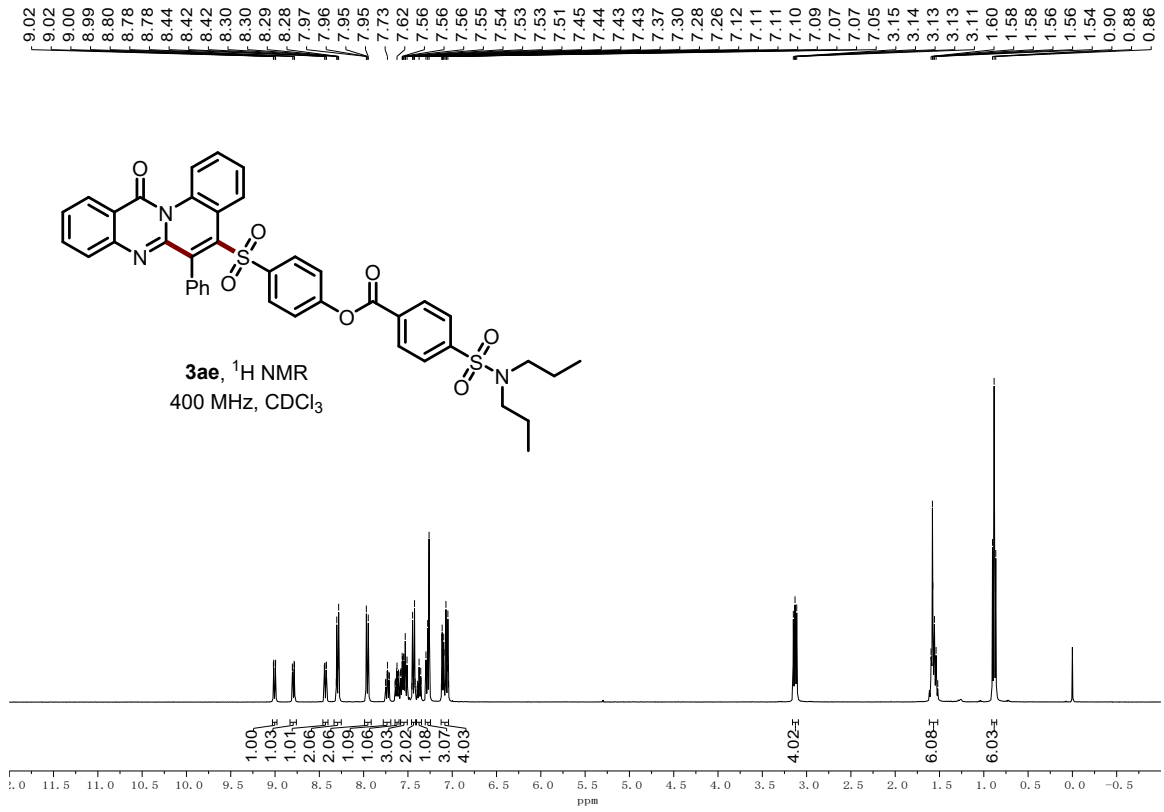


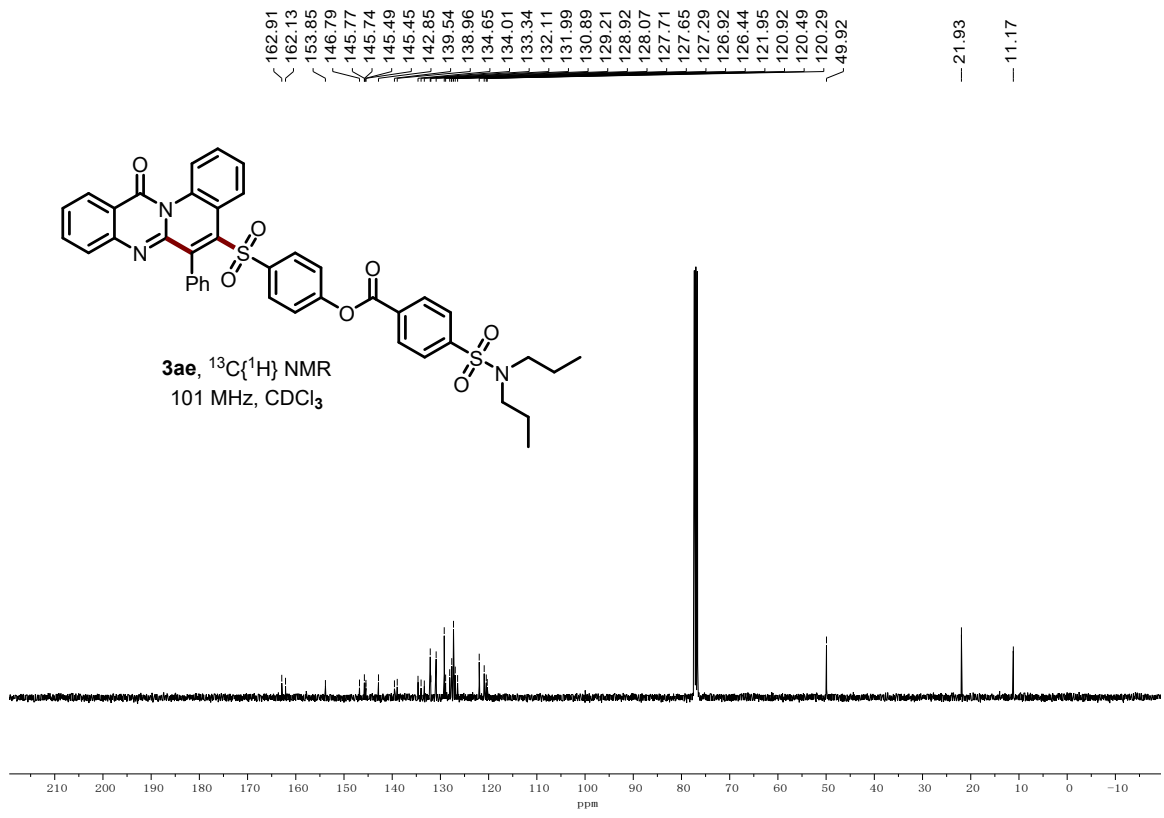


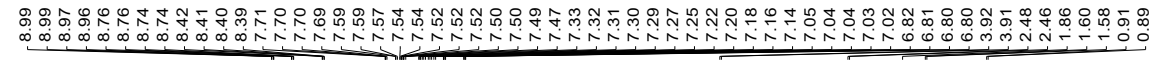


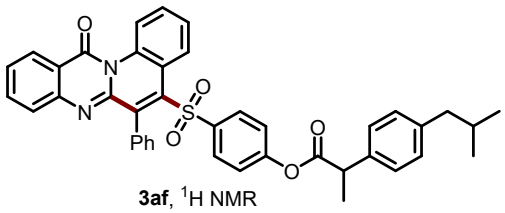
3ac, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$



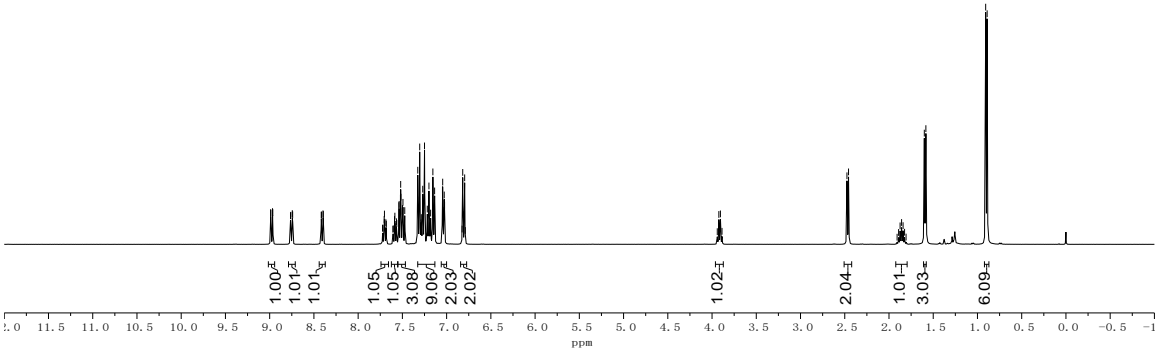

9.02 9.02 9.00 8.99 8.80 8.78 8.78 8.44 8.42 8.42 8.30 8.30 8.29 8.28 7.97 7.96 7.95 7.95 7.73 7.62 7.56 7.56 7.56 7.55 7.54 7.53 7.53 7.51 7.45 7.44 7.43 7.43 7.37 7.30 7.28 7.26 7.12 7.11 7.11 7.10 7.09 7.07 7.07 7.05 3.15 3.14 3.13 3.13 3.11 1.60 1.58 1.58 1.56 1.56 1.54 0.90 0.88 0.86
3ae, 1H NMR
400 MHz, CDCl3
1.00 1.03 1.01 2.06 2.06 1.09 1.06 3.03 2.02 1.08 3.07 4.03 4.02 6.08 6.03
ppm

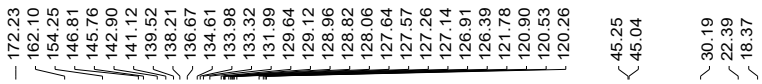

162.91 162.13 153.85 146.79 145.77 145.74 145.49 145.45 142.85 139.54 138.96 134.65 134.01 133.34 132.11 131.99 130.89 129.21 128.92 128.07 127.71 127.65 127.29 126.92 126.44 121.95 120.92 120.49 120.29 49.92 21.93 11.17
3ae, 13C{1H} NMR
101 MHz, CDCl3
ppm

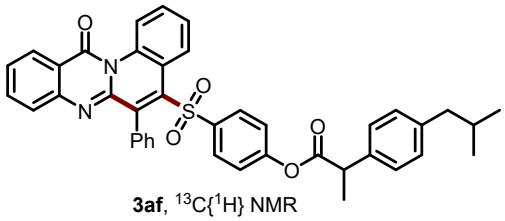




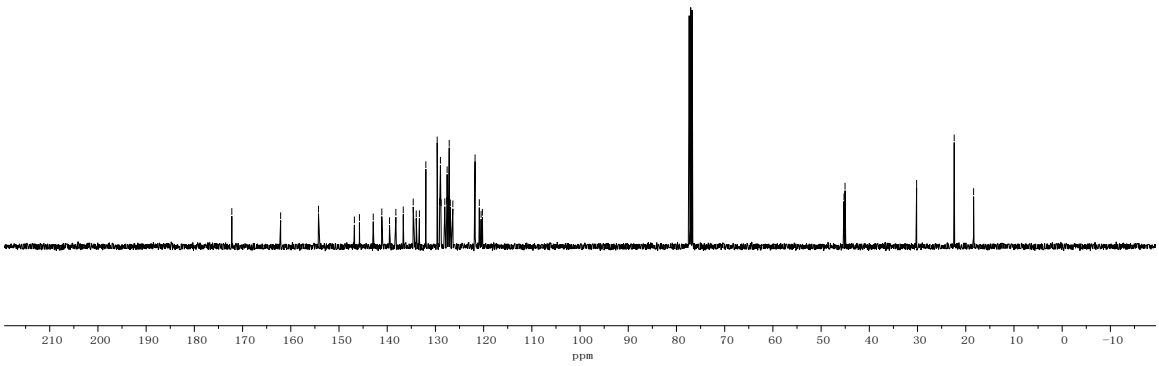
3af, ^{1}H NMR
400 MHz, $CDCl_3$

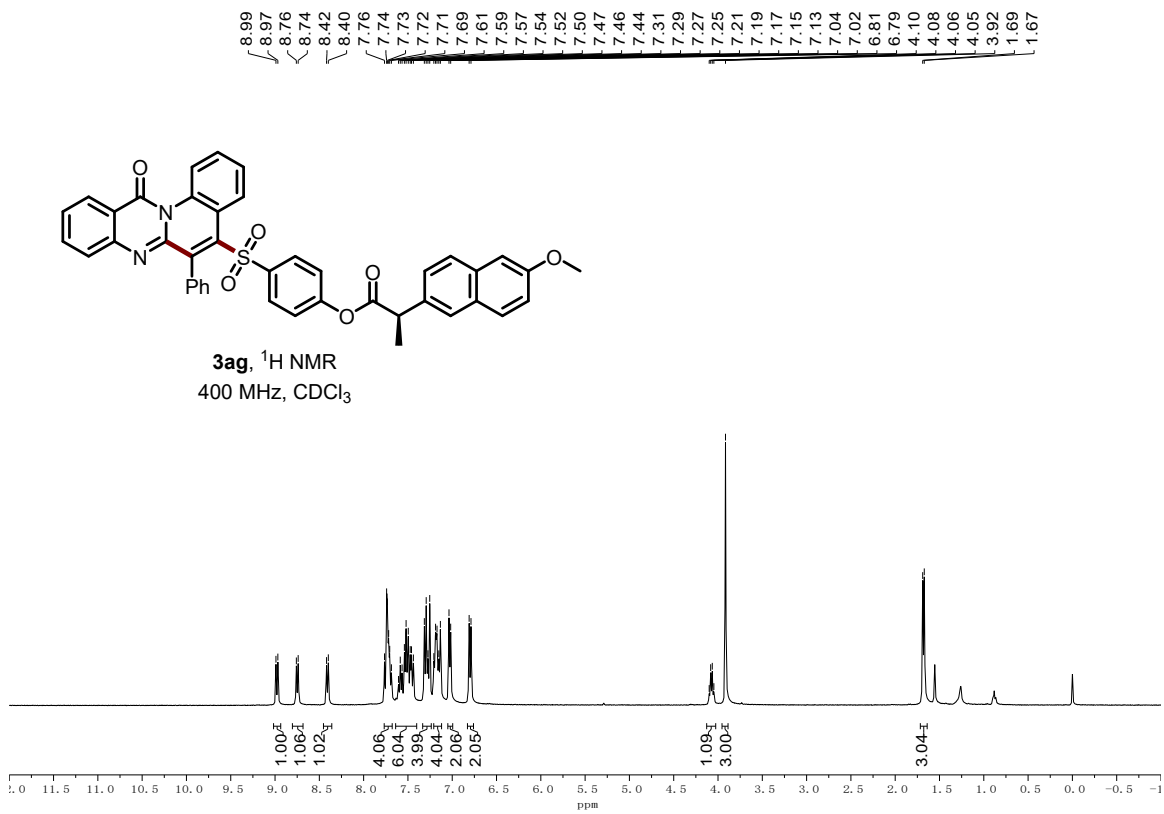




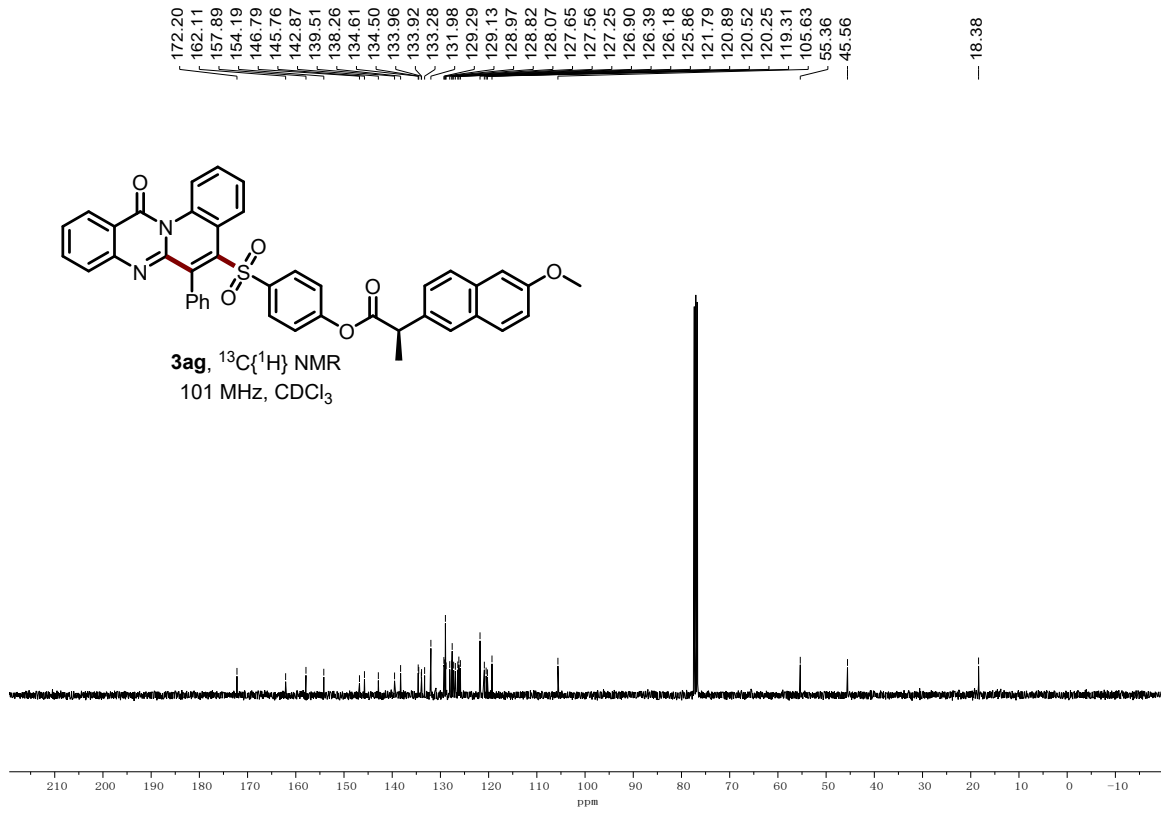


3af, $^{13}C\{^{1}H\}$ NMR
101 MHz, $CDCl_3$





3ag, ^{1}H NMR
400 MHz, $CDCl_3$



3ag, ^{13}C{^{1}H} NMR
101 MHz, $CDCl_3$

5. Reference

(1) Zeng, F.-L.; Zhang, Z.-Y.; Yin, P.-C.; Cheng, F.-K.; Chen, X.-L.; Qu, L.-B.; Cao, Z.-Y.; Yu, B., *Org. Lett.* **2022**, 24, 7912-7917.