

Supporting Information

Visible- Light-Promoted Selective C–H Amination of Heteroarenes with Heteroaromatic Amines under Metal-Free Conditions

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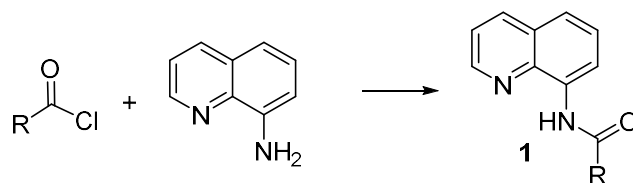
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General: All commercially available chemicals and reagents were used without any further purification unless otherwise indicated. 1,2-Dichloroethane (DCE) was dried and stored with molecular sieves. ^1H and ^{13}C NMR spectra were recorded at 600 and 150 MHz, respectively. The spectra were recorded in CDCl_3 as solvent. Multiplicity was indicated as follows: s (singlet); d (doublet); t (triplet); m (multiplet); dd (doublet of doublets), etc. and coupling constants (J) were given in Hz. Chemical shifts are reported in ppm relative to TMS as an internal standard. The peaks around delta values of ^1H NMR (7.26), and ^{13}C NMR (77.0) are correspond to deuterated solvent CDCl_3 . All products were purified through column chromatography using silica gel 100-200 mesh size using ethyl acetate /hexane as eluent.

General Procedure for the Preparation of Starting corresponding amide 1:



A mixture of 8-Aminoquinoline (10.0 mmol), Et_3N (12 mmol) and DMAP (0.30 mmol) were stirred in CH_2Cl_2 under 0°C . Then acyl chloride (12 mmol) was added to the system dropwise. The solution was stirred at room temperature under argon atmosphere overnight. After completion of the reaction, the mixture was quenched with water and extracted with DCM and dried over anhydrous Na_2SO_4 , after removal of DCM under vacuum, crude mixture left out was purified by column chromatography (silica gel 100-200 mesh size) using hexane and ethyl acetate/ethyl acetate.

A typical experimental procedure for the synthesis of N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)pivalamide (3a):

In a reaction tube equipped with a magnetic stir bar was charged with 45.7 mg (0.20 mmol) of amide **1a**, 47.65 mg (0.40 mmol) of 1H-benzotriazole (**2**), 109.2 mg (0.40 mmol) of potassium persulfate ($\text{K}_2\text{S}_2\text{O}_8$) and 4.1 mg (5 mol %) 9-mesityl-10-methylacridinium perchlorate were added in 2.5 mL of DCE at room temperature, argon atmosphere, under irradiation with 12W blue LED strips for 28 h. After completion of the reaction, the mixture was quenched with water and extracted with DCM and dried over anhydrous Na_2SO_4 , after removal of DCM under vacuum, crude mixture left out was purified by column chromatography (silica gel 100-200 mesh size) using hexane and ethyl acetate/ethyl acetate, the product **3a** was isolated in 49 mg (71%) yield.

A typical experimental procedure for the synthesis of 1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-benzo[d][1,2,3]triazole (6a):

In a reaction tube equipped with a magnetic stir bar was charged with 45.7 mg (0.20 mmol) of 2-phenylimidazo[1,2-a]pyridine **5a**, 38.8 mg (0.40 mmol) of 1H-Benzotriazole (**2**), 109.2 mg (0.40 mmol) of Potassium persulfate ($K_2S_2O_8$) and 4.1 mg (5 mol %) 9-Mesityl-10-methylacridinium perchlorate were added in 2.5 mL of DCE at room temperature, argon atmosphere, under irradiation with 12W blue LED strips for 28 h. After completion of the reaction, the mixture was quenched with water and extracted with DCM and dried over anhydrous Na_2SO_4 , after removal of DCM under vacuum, crude mixture left out was purified by column chromatography (silica gel 100-200 mesh size) using hexane and ethyl acetate/ethyl acetate, the product **6a** was isolated in 37.4 mg (60%) yield.

Light/dark” experiments over the time.

We determined the reaction yield at different time with or without visible light at the standard condition. The yield was determined by pick ratio of A and B. This result indicated that continuous irradiation with visible light was essential for this photo-catalytic transformation.

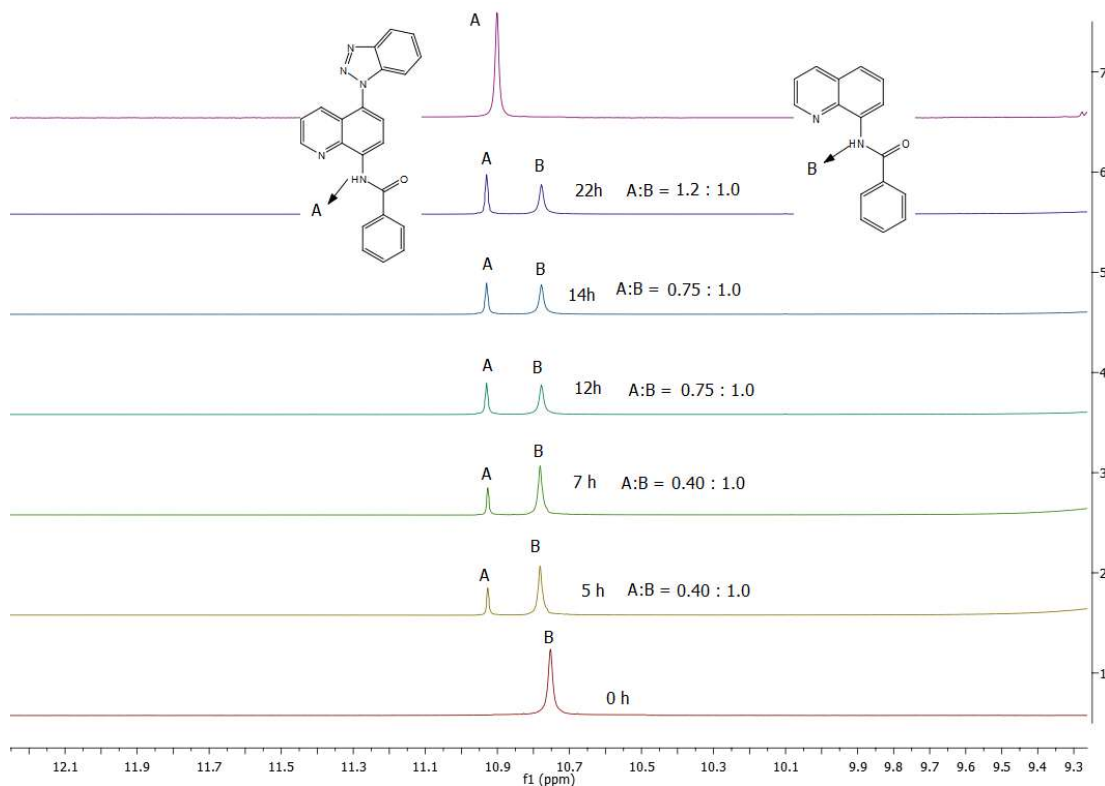


Fig. S1(A): In situ NMR spectra of C–H amination of Heteroarenes (**5d**) over the time

Table 1 “Light/dark” experiments over the time.

Time / h	0	5	7	12	14	22
Yield / %	0	29	29	43	43	55

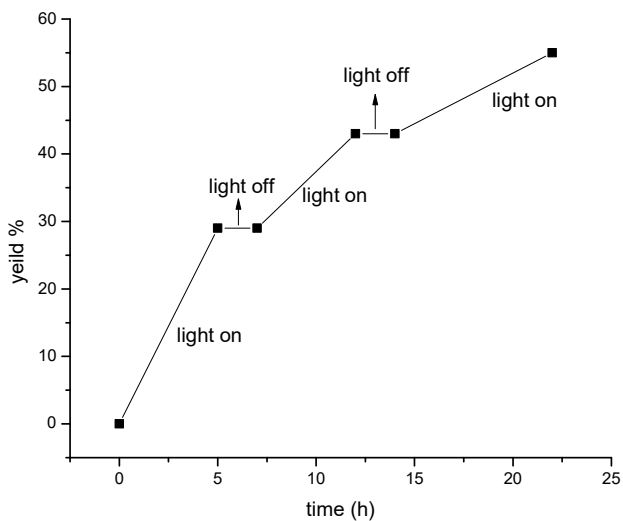
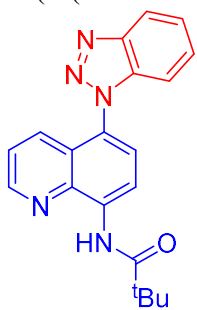


Fig. S1(B) “Light/dark” experiments over the time

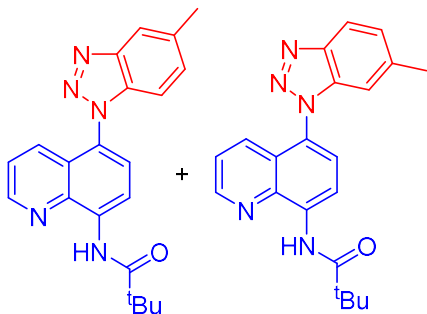
Characterization data for all products.

N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)pivalamide (**3a**):¹



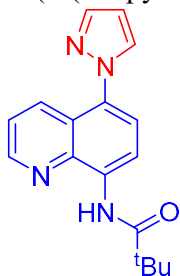
Yield (49 mg, 71%); ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 8.99 (d, *J* = 8.2 Hz, 1H), 8.94 – 8.89 (m, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.92 (d, *J* = 7.3 Hz, 1H), 7.74 (d, *J* = 8.6 Hz, 1H), 7.53 – 7.44 (m, 3H), 7.35 (d, *J* = 8.3 Hz, 1H), 1.46 (s, 9H). ¹³C NMR (150 MHz,) δ 177.57, 149.13, 145.68, 138.79, 136.49, 134.56, 131.97, 128.41, 125.83, 125.53, 124.48, 124.35, 122.82, 120.20, 115.07, 109.96, 40.46, 27.64.

N-(5-(5-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)pivalamide compound with N-(5-(6-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)pivalamide (1:1) (**3b**):



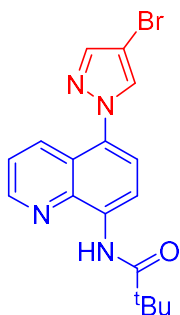
Yield (48.1 mg, 67%); ^1H NMR (600 MHz, CDCl_3) δ 10.41 (s, 2H), 8.96 (dd, $J = 7.6, 4.4$ Hz, 2H), 8.95 – 8.86 (m, 2H), 8.03 (d, $J = 8.5$ Hz, 1H), 8.00 – 7.88 (m, 3H), 7.70 (d, $J = 8.1$ Hz, 2H), 7.52 – 7.43 (m, 2H), 7.32 (d, $J = 8.1$ Hz, 1H), 7.23 (dd, $J = 22.8, 8.8$ Hz, 2H), 7.09 (s, 1H), 2.53 (s, 3H), 2.45 (s, 3H), 1.45 (s, 18H). ^{13}C NMR (150 MHz,) δ 177.55, 149.09, 146.28, 144.29, 139.22, 138.80, 136.39, 135.06, 134.59, 133.10, 132.04, 130.53, 126.72, 126.01, 125.54, 125.38, 124.44, 124.32, 122.79, 119.58, 119.05, 115.08, 109.46, 109.12, 40.46, 27.64, 21.93, 21.44. FT-IR (KBr) 3350, 2947, 2922, 2822, 1665, 1596, 1529, 1453 1359, 1259, 1056, 859, 792, 743, 677. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{21}\text{H}_{21}\text{N}_5\text{ONa}$: 382.1644 found: 382.1635.

N-(5-(1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3c**):¹



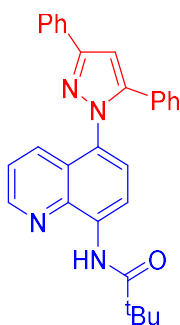
Yield (38.2 mg, 65%); ^1H NMR (600 MHz, CDCl_3) δ 10.35 (s, 1H), 8.85 (t, $J = 7.4$ Hz, 2H), 8.32 (d, $J = 9.8$ Hz, 1H), 7.83 (d, $J = 2.0$ Hz, 1H), 7.76 (d, $J = 2.9$ Hz, 1H), 7.56 (d, $J = 8.1$ Hz, 1H), 7.49 (dd, $J = 8.8, 4.2$ Hz, 1H), 6.53 (t, $J = 2.1$ Hz, 1H), 1.44 (s, 9H). ^{13}C NMR (150MHz,) δ 177.42, 148.75, 141.13, 138.69, 135.16, 132.87, 131.45, 130.96, 124.16, 123.76, 122.37, 114.99, 106.75, 40.41, 27.68.

N-(5-(4-bromo-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3d**):¹



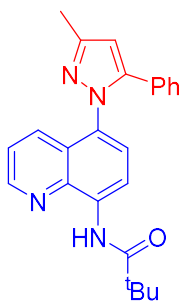
Yield (50.7 mg, 68%); ^1H NMR (600 MHz, CDCl_3) δ 10.36 (s, 1H), 8.89 – 8.86 (m, 1H), 8.84 (d, J = 8.1 Hz, 1H), 8.31 – 8.20 (m, 1H), 7.79 (d, J = 2.9 Hz, 2H), 7.55 (d, J = 8.2 Hz, 1H), 7.51 (dd, J = 8.6, 4.1 Hz, 1H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.57, 148.91, 141.67, 138.61, 135.59, 132.37, 131.52, 130.20, 123.99, 123.84, 122.57, 114.93, 94.80, 40.43, 27.65.

N-(5-(3,5-diphenyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3e**):¹



Yield (60.7 mg, 68%); ^1H NMR (600 MHz, CDCl_3) δ 10.35 (s, 1H), 8.83 (d, J = 4.7 Hz, 1H), 8.76 (s, 1H), 8.10 – 8.05 (m, 1H), 7.94 (d, J = 7.9 Hz, 2H), 7.93 (s, 1H), 7.48 (d, J = 8.4 Hz, 1H), 7.46 – 7.42 (m, 3H), 7.36 (t, J = 7.4 Hz, 1H), 7.22 – 7.15 (m, 5H), 6.96 (s, 1H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.40, 152.31, 148.69, 146.75, 138.72, 135.46, 132.89, 132.65, 130.12, 129.78, 128.68, 128.49, 128.33, 128.13, 128.00, 127.14, 125.83, 125.43, 122.50, 115.00, 103.85, 40.42, 27.67.

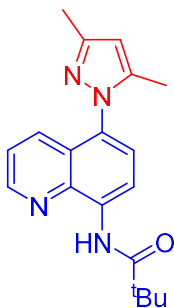
N-(5-(3-methyl-5-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3f**):¹



Yield (46.1 mg, 60%); ^1H NMR (600 MHz, CDCl_3) δ 10.32 (s, 1H), 8.81 (d, J = 3.0 Hz, 1H), 8.73 (d, J = 8.4 Hz, 1H), 8.00 (d, J = 9.5 Hz, 1H), 7.43 (dd, J = 8.6, 4.1 Hz, 1H), 7.38 (d, J =

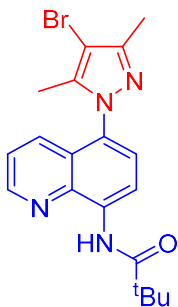
8.2 Hz, 1H), 7.15 (dt, $J = 14.9, 5.1$ Hz, 3H), 7.09 (d, $J = 7.3$ Hz, 2H), 6.43 (s, 1H), 2.42 (s, 3H), 1.43 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.48, 149.90, 148.69, 146.17, 138.78, 135.28, 132.75, 130.39, 130.08, 128.51, 128.18, 127.97, 127.10, 125.52, 122.50, 115.13, 106.47, 40.50, 27.77, 13.76.

N-(5-(3,5-dimethyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3g**):¹



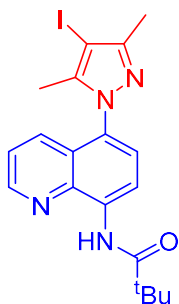
Yield (39.3 mg, 61%); ^1H NMR (600 MHz, CDCl_3) δ 10.34 (s, 1H), 8.85 (d, $J = 8.2$ Hz, 2H), 7.79 (d, $J = 8.0$ Hz, 1H), 7.51 (d, $J = 8.1$ Hz, 1H), 7.46 (dd, $J = 8.6, 3.9$ Hz, 1H), 6.06 (s, 1H), 2.33 (s, 3H), 2.06 (s, 3H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.43, 149.21, 148.64, 141.59, 138.75, 135.42, 132.58, 129.58, 126.48, 125.89, 122.50, 114.97, 105.67, 40.40, 27.68, 13.60.

N-(5-(4-bromo-3,5-dimethyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3h**):¹



Yield (67.4 mg, 84%); ^1H NMR (600 MHz, CDCl_3) δ 10.33 (s, 1H), 8.89 – 8.83 (m, 2H), 7.80 – 7.75 (m, 1H), 7.49 (d, $J = 8.1$ Hz, 1H), 7.47 (dd, $J = 8.3, 4.3$ Hz, 1H), 2.33 (s, 3H), 2.06 (s, 3H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.42, 148.78, 147.82, 139.69, 138.68, 135.85, 132.18, 129.25, 126.56, 125.49, 122.64, 114.89, 95.28, 40.39, 27.64, 12.41, 10.87.

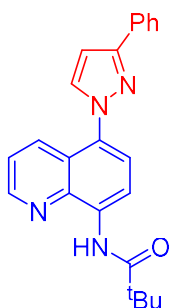
N-(5-(4-iodo-3,5-dimethyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3i**):¹



Yield (63.6 mg, 71%); ^1H NMR (600 MHz, CDCl_3) δ 10.34 (s, 1H), 8.87 – 8.84 (m, 2H), 7.79 – 7.74 (m, 1H), 7.49 (d, J = 8.7 Hz, 1H), 7.48 – 7.45 (m, 1H), 2.34 (s, 3H), 2.10 (s, 3H), 1.44 (s, 9H).

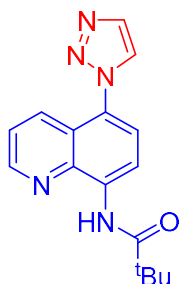
^{13}C NMR (150 MHz,) δ 177.44, 151.03, 148.78, 143.16, 138.66, 135.85, 132.19, 129.44, 126.56, 125.47, 122.66, 114.89, 40.41, 27.65, 14.15, 12.53.

N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)pivalamide (**3j**):



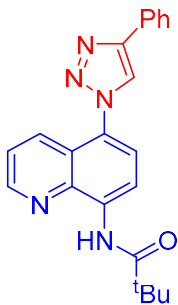
White solid; mp 176 °C, Yield (58.5 mg, 79%); ^1H NMR (600 MHz, CDCl_3) δ 10.37 (s, 1H), 8.87 (t, J = 5.4 Hz, 2H), 8.47 (d, J = 9.7 Hz, 1H), 7.92 (d, J = 7.4 Hz, 2H), 7.78 (d, J = 2.2 Hz, 1H), 7.63 (d, J = 8.2 Hz, 1H), 7.50 (dd, J = 8.7, 4.1 Hz, 1H), 7.44 (t, J = 7.5 Hz, 2H), 7.35 (t, J = 7.3 Hz, 1H), 6.84 (d, J = 2.4 Hz, 1H), 1.46 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.36, 153.10, 148.72, 138.70, 135.11, 132.98, 132.84, 130.96, 128.64, 128.03, 125.78, 124.06, 123.59, 122.36, 115.00, 104.11, 40.37, 27.65. FT-IR (KBr) 3345, 2973, 2822, 1660, 1627, 1597, 1384, 1351, 1119, 1044, 756, 688. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{22}\text{N}_5\text{O}$: 372.1824 found: 372.1815.

N-(5-(1H-1,2,3-triazol-1-yl)quinolin-8-yl)pivalamide (**3k**):¹



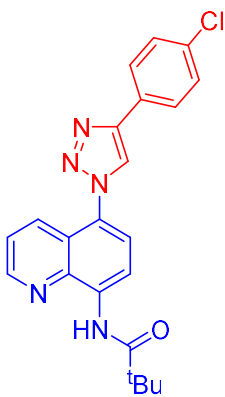
Yield (41.3 mg, 70%); ^1H NMR (600 MHz, CDCl_3) δ 10.38 (s, 1H), 8.91 – 8.88 (m, 2H), 8.10 (d, J = 7.3 Hz, 1H), 7.93 (d, J = 9.7 Hz, 2H), 7.61 (d, J = 8.1 Hz, 1H), 7.53 (dd, J = 8.7, 4.1 Hz, 1H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.58, 149.14, 138.44, 136.48, 133.86, 131.96, 127.02, 126.05, 124.41, 123.73, 122.98, 114.73, 40.46, 27.63.

N-(5-(4-phenyl-1H-1,2,3-triazol-1-yl)quinolin-8-yl)pivalamide (**3l**):¹



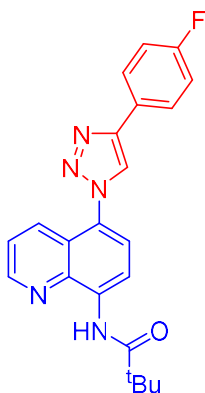
Yield (78 mg, 78%); ^1H NMR (600 MHz, CDCl_3) δ 10.41 (s, 1H), 8.93 (t, J = 6.5 Hz, 2H), 8.23 (d, J = 8.3 Hz, 1H), 8.13 (s, 1H), 7.95 (d, J = 8.1 Hz, 2H), 7.69 (d, J = 8.1 Hz, 1H), 7.55 (dd, J = 8.6, 4.1 Hz, 1H), 7.49 (t, J = 7.3 Hz, 2H), 7.40 (t, J = 7.2 Hz, 1H), 1.46 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.74, 149.28, 148.01, 138.59, 136.62, 132.22, 130.14, 129.09, 128.64, 127.20, 125.97, 124.46, 123.81, 123.12, 122.16, 114.90, 40.59, 27.76.

N-(5-(4-(4-chlorophenyl)-1H-1,2,3-triazol-1-yl)quinolin-8-yl)pivalamide (**3m**):¹



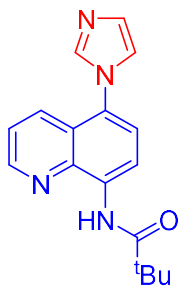
Yield (60.9 mg, 75%); ^1H NMR (600 MHz, CDCl_3) δ 10.40 (s, 1H), 8.94 – 8.91 (m, 2H), 8.21 (d, J = 7.6 Hz, 1H), 8.12 (s, 1H), 7.88 (d, J = 8.6 Hz, 2H), 7.66 (d, J = 8.5 Hz, 1H), 7.55 (dd, J = 8.6, 4.1 Hz, 1H), 7.44 (d, J = 8.8 Hz, 2H), 1.46 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.60, 149.21, 146.84, 138.46, 136.61, 134.29, 132.02, 129.20, 128.58, 127.09, 126.92, 124.35, 123.63, 123.04, 122.11, 114.74, 77.21, 40.48, 27.64.

N-(5-(4-(4-fluorophenyl)-1H-1,2,3-triazol-1-yl)quinolin-8-yl)pivalamide (**3n**):



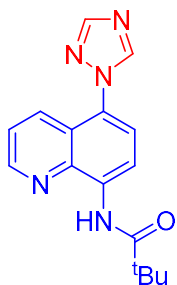
White solid; mp 162 °C ,Yield (42.8 mg, 55%); ^1H NMR (600 MHz, CDCl_3) δ 10.40 (s, 1H), 8.97 – 8.87 (m, 2H), 8.22 (d, J = 10.0 Hz, 1H), 8.08 (s, 1H), 7.97 – 7.87 (m, 2H), 7.67 (d, J = 8.3 Hz, 1H), 7.56 (dd, J = 8.7, 4.1 Hz, 1H), 7.18 (t, J = 8.6 Hz, 2H), 1.46 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.63, 163.71(d, J_{CF} =246.75 Hz), 149.21, 147.06, 138.50, 136.60, 132.07, 127.61, 127.01, 126.31, 124.37, 123.69, 123.04, 121.81, 116.10 (d, J_{CF} =21.9 Hz), 114.78, 40.50, 27.66. FT-IR (KBr) 2973, 2930, 2815, 1665, 1625, 1590, 1389, 1384, 1228, 1154, 1031, 776. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{22}\text{H}_{21}\text{N}_5\text{OFNa}$: 390.1730 found: 390.1736.

N-(5-(1H-imidazol-1-yl)quinolin-8-yl)pivalamide (**3o**):



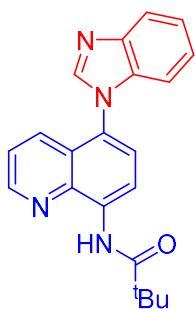
White solid; mp 196 °C ,Yield (23.0 mg, 39%); ^1H NMR (600 MHz, CDCl_3) δ 10.32 (s, 1H), 8.90 (d, J = 2.6 Hz, 1H), 8.86 (d, J = 8.1 Hz, 1H), 7.93 (d, J = 7.4 Hz, 1H), 7.73 (s, 1H), 7.54 (d, J = 8.2 Hz, 1H), 7.52 (dd, J = 8.6, 4.0 Hz, 1H), 7.30 (s, 1H), 7.20 (s, 1H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.52, 149.03, 138.56, 138.43, 135.71, 131.30, 129.66, 127.30, 125.13, 124.61, 122.81, 121.75, 115.11, 40.45, 27.66. FT-IR (KBr) 2972, 2929, 288, 1658, 1531, 1262, 1171, 1029, 753. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_4\text{ONa}$: 317.1378 found: 317.1366.

N-(5-(1H-1,2,4-triazol-1-yl)quinolin-8-yl)pivalamide (**3p**):¹



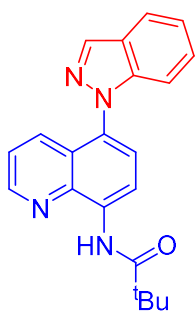
Yield (32.5 mg, 55%); ^1H NMR (600 MHz, CDCl_3) δ 10.36 (s, 1H), 8.92 – 8.89 (m, 1H), 8.87 (d, J = 8.1 Hz, 1H), 8.42 (s, 1H), 8.22 (s, 1H), 8.19 – 8.16 (m, 1H), 7.58 (d, J = 8.6 Hz, 1H), 7.53 (dd, J = 8.6, 4.1 Hz, 1H), 1.44 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.53, 152.78, 149.10, 144.60, 138.58, 136.40, 131.94, 126.72, 124.46, 123.79, 122.83, 114.72, 40.44, 27.62.

N-(5-(1H-benzo[d]imidazol-1-yl)quinolin-8-yl)pivalamide (**3q**):



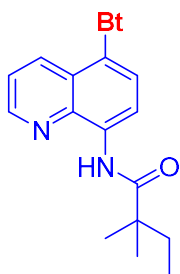
Semisolid, Yield (2.5 mg, 37%); ^1H NMR (600 MHz, CDCl_3) δ 10.39 (s, 1H), 8.95 (d, J = 8.2 Hz, 1H), 8.91 (d, J = 5.1 Hz, 1H), 8.10 (s, 1H), 7.94 (d, J = 8.2 Hz, 1H), 7.75 (d, J = 7.3 Hz, 1H), 7.64 (d, J = 8.3 Hz, 1H), 7.45 (dd, J = 8.7, 4.1 Hz, 1H), 7.36 (t, J = 7.7 Hz, 1H), 7.26 (m, 1H), 7.04 (d, J = 8.1 Hz, 1H), 1.47 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.67, 149.22, 143.80, 143.37, 138.99, 136.19, 135.79, 131.62, 126.67, 125.61, 125.00, 123.95, 122.99, 122.82, 120.70, 115.55, 110.52, 40.58, 27.78. FT-IR (KBr) 3359, 2970, 2930, 1676, 1630, 1592, 1525, 1457, 1382, 1261, 1171, 1087, 1029, 754. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{21}\text{H}_{21}\text{N}_4\text{O}$: 345.1715 found: 345.1727.

N-(5-(1H-indazol-1-yl)quinolin-8-yl)pivalamide (**3r**):



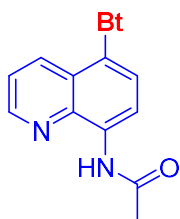
Semisolid, Yield (18.6 mg, 27%); ^1H NMR (600 MHz, CDCl_3) δ 10.41 (s, 1H), 8.93 (d, J = 8.1 Hz, 1H), 8.88 (d, J = 5.0 Hz, 1H), 8.31 (s, 1H), 8.06 – 8.01 (m, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.71 (d, J = 8.3 Hz, 1H), 7.44 (dd, J = 8.7, 4.1 Hz, 1H), 7.40 – 7.36 (m, 1H), 7.26 (dd, J = 6.5, 4.0 Hz, 2H), 1.47 (s, 9H). ^{13}C NMR (150 MHz,) δ 177.57, 148.87, 141.30, 139.17, 135.53, 135.42, 132.85, 129.36, 127.32, 125.62, 125.20, 124.40, 122.37, 121.66, 121.28, 115.36, 110.14, 40.55, 27.81. FT-IR (KBr) 2964, 2925, 1677, 1627, 1595, 1464, 1385, 1353, 1257, 1117, 1032, 804, 618. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{21}\text{H}_{20}\text{N}_4\text{ONa}$: 367.1535 found: 367.1531.

N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)-2,2-dimethylbutanamide (**4a**):



White solid; mp 164 °C, Yield (49 mg, 68%); ^1H NMR (600 MHz, CDCl_3) δ 10.40 (s, 1H), 9.00 (d, J = 8.7 Hz, 1H), 8.92 (d, J = 5.2 Hz, 1H), 8.21 (d, J = 8.2 Hz, 1H), 7.93 (d, J = 9.9 Hz, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.53 – 7.45 (m, 3H), 7.37 (d, J = 8.1 Hz, 1H), 1.81 (q, J = 7.3 Hz, 2H), 1.43 (s, 6H), 0.99 (t, J = 7.5 Hz, 3H). ^{13}C NMR (150 MHz,) δ 177.03, 149.15, 145.72, 138.82, 136.49, 134.60, 132.00, 128.42, 125.82, 125.58, 124.50, 124.41, 122.83, 120.24, 115.07, 110.00, 44.24, 34.10, 25.04, 9.30. FT-IR (KBr) 3349, 2961, 2922, 1678, 1628, 1595, 1524, 1384, 1354, 1140, 1052, 844, 749, 671. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{21}\text{H}_{21}\text{N}_5\text{ONa}$: 382.1644 found: 382.1654.

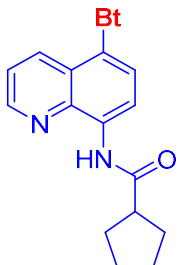
N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)acetamide (**4b**):



White solid; mp 215 °C, Yield (37.6 mg, 62%); ^1H NMR (600 MHz, CDCl_3) δ 9.96 (s, 1H), 8.96 (d, J = 8.1 Hz, 1H), 8.89 (d, J = 2.3 Hz, 1H), 8.20 (d, J = 8.3 Hz, 1H), 7.93 (d, J = 8.4 Hz, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.52 (t, J = 7.4 Hz, 1H), 7.47 (dd, J = 13.8, 7.5 Hz, 2H), 7.37 (d, J = 8.1 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (150 MHz,) δ 169.07, 149.04, 145.71, 138.27, 136.28,

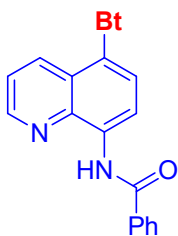
134.55, 132.04, 128.46, 126.04, 125.50, 124.53, 124.36, 122.90, 120.24, 115.28, 109.98, 25.19. FT-IR (KBr) 3352, 2963, 2927, 1704, 1632, 1590, 1531, 1455, 1383, 1349, 1056, 742. HRMS [M+H]⁺ calcd for C₁₇H₁₄N₅O: 304.1198 found: 304.1201.

N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)cyclopentanecarboxamide (**4c**)



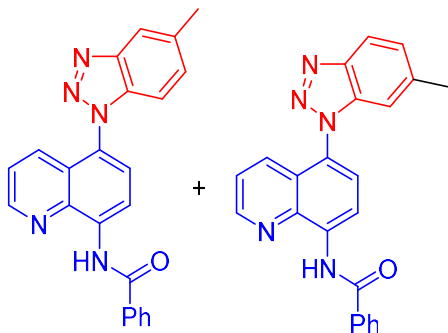
White solid; mp 218 °C, Yield (46.5 mg, 6%); ¹H NMR (600 MHz, CDCl₃) δ 10.04 (s, 1H), 8.98 (d, *J* = 8.1 Hz, 1H), 8.90 (d, *J* = 5.1 Hz, 1H), 8.21 (d, *J* = 8.3 Hz, 1H), 7.97 – 7.90 (m, 1H), 7.74 (d, *J* = 8.1 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.50 – 7.45 (m, 2H), 7.36 (d, *J* = 8.3 Hz, 1H), 3.02 (p, *J* = 8.1 Hz, 1H), 2.14 – 2.06 (m, 2H), 2.06 – 1.99 (m, 2H), 1.90 – 1.82 (m, 2H), 1.75 – 1.69 (m, 2H). ¹³C NMR (150 MHz,) δ 175.43, 149.02, 145.72, 138.44, 136.53, 134.59, 132.02, 128.43, 125.81, 125.58, 124.51, 124.40, 122.85, 120.24, 115.22, 109.99, 47.43, 30.58, 26.00. FT-IR (KBr) 3358, 2964, 2927, 2813, 1682, 1595, 1528, 1384, 1352, 752, 672. HRMS [M+H]⁺ calcd for C₂₁H₂₀N₅O: 358.1668 found: 358.1659.

N-(5-(1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)benzamide (**4d**):



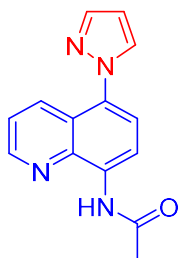
White solid; mp 189 °C, Yield (49.7 mg, 68%); ¹H NMR (600 MHz, CDCl₃) δ 10.91 (s, 1H), 9.14 (d, *J* = 8.2 Hz, 1H), 8.96 (d, *J* = 2.8 Hz, 1H), 8.22 (d, *J* = 8.3 Hz, 1H), 8.13 (d, *J* = 7.3 Hz, 2H), 7.97 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.2 Hz, 1H), 7.64 – 7.61 (m, 1H), 7.59 (t, *J* = 7.2 Hz, 2H), 7.56 – 7.50 (m, 2H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H). ¹³C NMR (150 MHz,) δ 165.65, 149.22, 145.74, 138.83, 136.37, 134.62, 134.56, 132.22, 132.13, 128.91, 128.48, 127.35, 126.26, 125.53, 124.55, 124.44, 123.00, 120.26, 115.44, 110.01. FT-IR (KBr) 3349, 2930, 2813, 1670, 1590, 1524, 1454, 1385, 1350, 1258, 1053, 939, 860, 790, 745, 679. HRMS [M+H]⁺ calcd for C₂₂H₁₆N₅O: 366.1355 found: 366.1363.

N-(5-(5-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)benzamide compound with N-(5-(6-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolin-8-yl)benzamide (1:1) (**4e**):



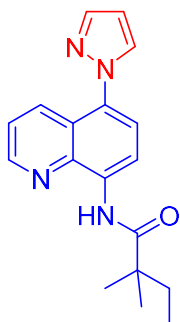
White solid; mp 162 °C, Yield (47.8 mg, 63%); ^1H NMR (600 MHz, CDCl_3) δ 10.91 (s, 2H), 9.13 (dd, $J = 8.1, 4.6$ Hz, 2H), 8.99 – 8.93 (m, 2H), 8.15 – 8.10 (m, 4H), 8.07 (d, $J = 8.6$ Hz, 1H), 7.98 (dd, $J = 13.2, 5.7$ Hz, 3H), 7.79 (d, $J = 8.1$ Hz, 2H), 7.65 – 7.57 (m, 6H), 7.53 – 7.49 (m, 2H), 7.36 (d, $J = 8.1$ Hz, 1H), 7.29 (dd, $J = 8.4, 3.1$ Hz, 2H), 7.16 (s, 1H), 2.57 (s, 3H), 2.49 (s, 3H). ^{13}C NMR (150 MHz,) δ 165.64, 149.19, 146.33, 144.35, 139.32, 138.83, 136.25, 135.05, 134.64, 133.09, 132.21, 130.60, 128.90, 127.34, 126.79, 126.39, 125.53, 125.38, 124.41, 122.94, 119.63, 119.11, 115.45, 109.51, 109.16, 21.97, 21.47. FT-IR (KBr) 3357, 2964, 2800, 1673, 1594, 1386, 1353, 1266, 1053, 767, 687. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{18}\text{N}_5\text{O}$: 380.1511 found: 380.1510.

N-(5-(1H-pyrazol-1-yl)quinolin-8-yl)acetamide (**4f**):



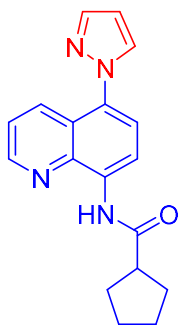
White solid; mp 158 °C, Yield (30.3 mg, 60%); ^1H NMR (600 MHz, CDCl_3) δ 9.88 (s, 1H), 8.83 (dd, $J = 16.3, 6.3$ Hz, 2H), 8.31 (d, $J = 8.1$ Hz, 1H), 7.83 (s, 1H), 7.77 (d, $J = 1.6$ Hz, 1H), 7.56 (d, $J = 8.4$ Hz, 1H), 7.49 (dd, $J = 8.6, 4.1$ Hz, 1H), 6.53 (s, 1H), 2.38 (s, 3H). ^{13}C NMR (150 MHz,) δ 168.94, 148.65, 141.17, 138.14, 134.93, 132.92, 131.45, 131.12, 124.16, 123.73, 122.43, 115.19, 106.80, 25.15. FT-IR (KBr) 3299, 2947, 2813, 2729, 1667, 1630, 1597, 1538, 1385, 1348, 1256, 1081, 1047, 857, 746. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{14}\text{H}_{13}\text{N}_4\text{O}$: 253.1089 found: 253.1084.

N-(5-(1H-pyrazol-1-yl)quinolin-8-yl)-2,2-dimethylbutanamide (**4g**):¹



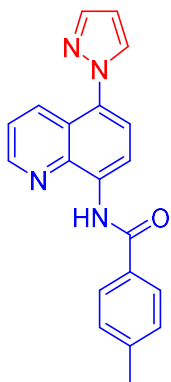
Yield (38.9 mg, 63%); ^1H NMR (600 MHz, CDCl_3) δ 10.31 (s, 1H), 8.89 – 8.82 (m, 2H), 8.36 – 8.28 (m, 1H), 7.83 (d, J = 1.6 Hz, 1H), 7.76 (d, J = 1.8 Hz, 1H), 7.56 (d, J = 8.1 Hz, 1H), 7.49 (dd, J = 8.6, 4.1 Hz, 1H), 6.53 (d, J = 1.6 Hz, 1H), 1.78 (q, J = 7.7 Hz, 2H), 1.41 (s, 6H), 0.96 (t, J = 7.5 Hz, 3H). ^{13}C NMR (150 MHz,) δ 176.85, 148.76, 141.13, 138.69, 135.13, 132.86, 131.46, 130.93, 124.18, 123.78, 122.36, 114.97, 106.74, 44.14, 34.10, 25.04.

N-(5-(1H-pyrazol-1-yl)quinolin-8-yl)cyclopentanecarboxamide (**4h**):¹



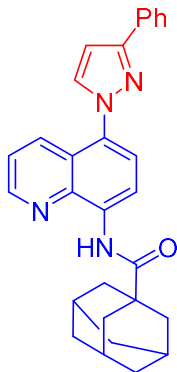
Yield (38 mg, 62%); ^1H NMR (600 MHz, CDCl_3) δ 9.95 (s, 1H), 8.86 – 8.82 (m, 2H), 8.31 (d, J = 7.6 Hz, 1H), 7.83 (s, 1H), 7.76 (d, J = 1.8 Hz, 1H), 7.56 (d, J = 8.1 Hz, 1H), 7.49 (dd, J = 8.7, 4.1 Hz, 1H), 6.53 (d, J = 1.7 Hz, 1H), 2.98 (dd, J = 16.5, 8.2 Hz, 1H), 2.10 – 2.05 (m, 2H), 2.02 – 1.97 (m, 2H), 1.88 – 1.82 (m, 2H), 1.72 – 1.68 (m, 2H). ^{13}C NMR (150 MHz,) δ 175.24, 148.61, 141.12, 138.29, 135.17, 132.88, 131.44, 130.91, 124.16, 123.78, 122.37, 115.10, 106.75, 47.39, 30.56, 25.99.

N-(5-(1H-pyrazol-1-yl)quinolin-8-yl)-4-methylbenzamide (**4i**):



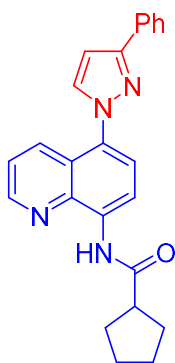
White solid; mp 169 °C, Yield (38 mg, 58%); ^1H NMR (600 MHz, CDCl_3) δ 10.80 (s, 1H), 9.00 (d, J = 8.1 Hz, 1H), 8.94 – 8.86 (m, 1H), 8.39 – 8.33 (m, 1H), 8.00 (d, J = 8.0 Hz, 2H), 7.85 (d, J = 2.0 Hz, 1H), 7.80 (d, J = 2.1 Hz, 1H), 7.62 (d, J = 8.1 Hz, 1H), 7.52 (dd, J = 9.1, 4.5 Hz, 1H), 7.36 (d, J = 7.9 Hz, 2H), 6.55 (t, J = 2.7 Hz, 1H), 2.46 (s, 3H). ^{13}C NMR (150 MHz,) δ 165.53, 148.79, 142.61, 141.19, 138.71, 135.14, 132.99, 132.03, 131.45, 131.20, 129.52, 127.34, 124.23, 123.79, 122.50, 115.27, 106.82, 21.56. FT-IR (KBr) 2930, 2813, 2729, 1663, 1590, 1386, 1351, 1262, 1123, 769, 683. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{20}\text{H}_{17}\text{N}_4\text{O}$: 329.1402 found: 329.1410.

N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)adamantane-1-carboxamide (**4j**):



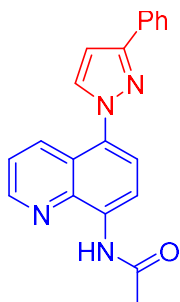
White solid; mp 177 °C, Yield (74.5 mg, 83%); ^1H NMR (600 MHz, CDCl_3) δ 10.32 (s, 1H), 8.92 – 8.85 (m, 2H), 8.47 (d, J = 7.2 Hz, 1H), 7.94 – 7.90 (m, 2H), 7.78 (d, J = 2.2 Hz, 1H), 7.62 (d, J = 8.1 Hz, 1H), 7.51 (dd, J = 8.5, 4.1 Hz, 1H), 7.43 (t, J = 7.5 Hz, 2H), 7.35 (t, J = 7.5 Hz, 1H), 6.84 (d, J = 2.3 Hz, 1H), 2.18 – 2.15 (m, 3H), 2.15 – 2.12 (m, 6H), 1.82 (t, J = 3.1 Hz, 6H). ^{13}C NMR (150 MHz,) δ 176.89, 153.11, 148.72, 138.80, 135.13, 132.99, 132.86, 130.93, 128.65, 128.04, 125.80, 124.10, 123.65, 122.36, 115.16, 104.11, 42.29, 39.27, 36.47, 28.18. FT-IR (KBr) 3359, 2914, 2848, 1678, 1592, 1520, 1452, 1384, 1348, 847, 761, 693. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{29}\text{N}_4\text{O}$: 449.2341 found: 449.2326.

N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)cyclopentanecarboxamide (**4k**):



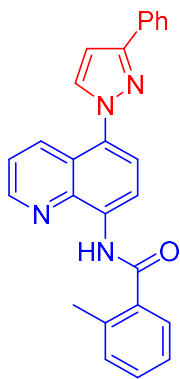
White solid; mp 188 °C, Yield (60.4 mg, 79%); ^1H NMR (600 MHz, CDCl_3) δ 9.96 (s, 1H), 8.89 – 8.82 (m, 2H), 8.49 – 8.44 (m, 1H), 7.92 (d, J = 7.4 Hz, 2H), 7.78 (d, J = 2.2 Hz, 1H), 7.62 (d, J = 8.1 Hz, 1H), 7.49 (dd, J = 8.2, 4.4 Hz, 1H), 7.43 (t, J = 7.5 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 6.84 (d, J = 2.2 Hz, 1H), 2.98 (p, J = 8.2 Hz, 1H), 2.08 (td, J = 12.3, 7.9 Hz, 2H), 2.04 – 1.98 (m, 2H), 1.89 – 1.83 (m, 2H), 1.73 – 1.66 (m, 2H). ^{13}C NMR (150 MHz,) δ 175.17, 153.09, 148.59, 138.30, 135.12, 132.98, 132.83, 130.90, 128.63, 128.02, 125.77, 124.06, 123.62, 122.37, 115.10, 104.10, 47.35, 30.53, 25.96. FT-IR (KBr) 3158, 2956, 2810, 2721, 1681, 1591, 1385, 1349, 752, 694. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{22}\text{N}_5\text{O}$: 384.1824 found: 384.1830.

N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)acetamide (**4l**):



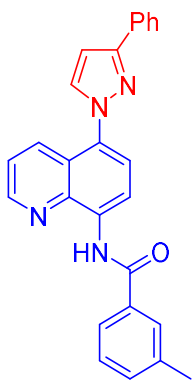
White solid; mp 157 °C, Yield (53.2 mg, 81%); ^1H NMR (600 MHz, CDCl_3) δ 9.89 (s, 1H), 8.84 (dd, J = 10.2, 6.3 Hz, 2H), 8.46 (d, J = 8.6 Hz, 1H), 7.92 (d, J = 7.7 Hz, 2H), 7.79 (d, J = 2.1 Hz, 1H), 7.62 (d, J = 8.2 Hz, 1H), 7.50 (dd, J = 8.6, 4.1 Hz, 1H), 7.43 (t, J = 7.6 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 6.84 (d, J = 2.0 Hz, 1H), 2.38 (s, 3H). ^{13}C NMR (151 MHz,) δ 168.91, 153.18, 148.66, 138.19, 134.93, 133.07, 132.94, 132.85, 131.14, 128.67, 128.08, 125.80, 124.12, 123.63, 122.46, 115.23, 104.18, 25.14. FT-IR (KBr) 3368, 2939, 2813, 1682, 1593, 1531, 1387, 1351, 1120, 753, 688. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{20}\text{H}_{16}\text{N}_4\text{ONa}$: 351.1222 found: 351.1235.

2-methyl-N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)benzamide (**4m**):



White solid; mp 203 °C, Yield (50.1 mg, 62%); ^1H NMR (600 MHz, CDCl_3) δ 10.81 (s, 1H), 9.02 (d, J = 8.3 Hz, 1H), 8.92 (d, J = 4.5 Hz, 1H), 8.51 (d, J = 8.0 Hz, 1H), 7.95 – 7.91 (m, 3H), 7.90 (d, J = 7.5 Hz, 1H), 7.82 (d, J = 1.4 Hz, 1H), 7.69 (d, J = 8.4 Hz, 1H), 7.53 (dd, J = 8.6, 4.1 Hz, 1H), 7.48 – 7.40 (m, 4H), 7.36 (t, J = 7.3 Hz, 1H), 6.86 (d, J = 2.1 Hz, 1H), 2.50 (s, 3H). ^{13}C NMR (150 MHz,) δ 165.72, 153.19, 148.82, 138.74, 138.73, 135.05, 134.81, 133.12, 132.96, 132.85, 132.80, 131.29, 128.68, 128.08, 128.05, 125.81, 124.24, 124.15, 123.63, 122.52, 115.38, 104.21, 21.47. FT-IR (KBr) 3358, 2947, 2813, 2729, 1678, 1588, 1386, 1357, 1257, 743, 678. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{26}\text{H}_{28}\text{N}_4\text{ONa}$: 427.1535 found: 427.1515.

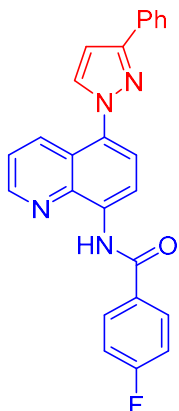
3-methyl-N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)benzamide (**4n**):



White solid; mp 194 °C, Yield (52.6 mg, 65%); ^1H NMR (600 MHz, CDCl_3) δ 10.33 (s, 1H), 9.02 (d, J = 8.1 Hz, 1H), 8.84 (d, J = 3.2 Hz, 1H), 8.51 (d, J = 8.0 Hz, 1H), 7.94 (d, J = 7.7 Hz, 2H), 7.82 (d, J = 1.9 Hz, 1H), 7.73 (d, J = 7.5 Hz, 1H), 7.69 (d, J = 8.2 Hz, 1H), 7.52 (dd, J = 8.6, 4.1 Hz, 1H), 7.46 – 7.41 (m, 3H), 7.38 – 7.33 (m, 3H), 6.87 (s, 1H), 2.63 (s, 3H). ^{13}C NMR (150 MHz,) δ 168.21, 153.23, 148.81, 138.62, 136.83, 136.26, 135.14, 133.12, 132.97, 132.86, 131.46, 130.52, 128.69, 128.10, 127.28, 126.06, 125.83, 124.18, 123.58, 122.53, 115.34,

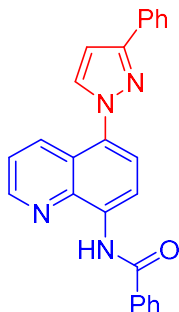
104.24, 20.24. FT-IR (KBr) 3359, 2813, 2727, 1665, 1589, 1385, 1352, 770, 679. HRMS [M+Na]⁺ calcd for C₂₆H₂₀N₄ONa: 427.1535 found: 427.1538.

4-fluoro-N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)benzamide (**4o**):



White solid; mp 235 °C, Yield (43.3 mg, 53%); ¹H NMR (600 MHz, CDCl₃) δ 10.80 (s, 1H), 8.99 (d, *J* = 8.1 Hz, 1H), 8.92 (d, *J* = 2.8 Hz, 1H), 8.53 (d, *J* = 7.8 Hz, 1H), 8.13 (dd, *J* = 8.4, 5.3 Hz, 2H), 7.93 (d, *J* = 7.8 Hz, 2H), 7.83 (d, *J* = 2.0 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.56 (dd, *J* = 8.4, 4.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.26 – 7.23 (m, 2H), 6.87 (d, *J* = 2.1 Hz, 1H). ¹³C NMR (150 MHz,) δ 165.95(d, *J*_{CF} = 251.1 Hz), 164.40, 153.29, 148.89, 138.74, 134.86, 133.27, 132.95, 132.86, 131.48, 131.03, 129.78, 129.72, 128.71, 128.14, 125.84, 124.21, 123.63, 122.63, 116.02 (d, *J*_{CF} = 21.9 Hz), 115.42, 104.29. FT-IR (KBr) 3366, 2964, 2813, 2729, 1673, 1634, 1592, 1389, 1354, 845, 747. HRMS [M+H]⁺ calcd for C₂₅H₁₈N₄OF: 409.1465 found: 409.1466.

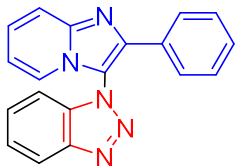
N-(5-(3-phenyl-1H-pyrazol-1-yl)quinolin-8-yl)benzamide (**4p**):



White solid; mp 204 °C, Yield (55.4 mg, 71%); ¹H NMR (600 MHz, CDCl₃) δ 10.85 (s, 1H), 9.03 (d, *J* = 8.5 Hz, 1H), 8.92 (d, *J* = 4.9 Hz, 1H), 8.52 (d, *J* = 7.6 Hz, 1H), 8.12 (d, *J* = 7.2 Hz, 2H), 7.94 (d, *J* = 7.8 Hz, 2H), 7.83 (d, *J* = 2.2 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.59 (dt, *J* =

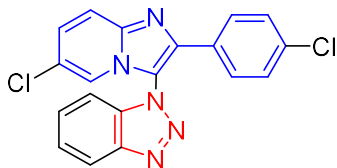
14.1, 6.9 Hz, 3H), 7.54 (dd, $J = 8.6, 4.1$ Hz, 1H), 7.45 (t, $J = 7.5$ Hz, 2H), 7.36 (t, $J = 7.4$ Hz, 1H), 6.86 (d, $J = 2.2$ Hz, 1H). ^{13}C NMR (150 MHz,) δ 165.50, 153.24, 148.85, 138.78, 135.01, 134.84, 133.18, 132.98, 132.86, 132.05, 131.37, 128.85, 128.69, 128.10, 127.33, 125.83, 124.19, 123.65, 122.57, 115.40, 104.24. FT-IR (KBr) 3374, 2964, 2813, 2729, 1672, 1591, 1543, 1390, 1350, 847, 739, 682. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{25}\text{H}_{18}\text{N}_4\text{ONa}$: 413.1378 found: 413.1377.

1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-benzo[d][1,2,3]triazole (**6a**):



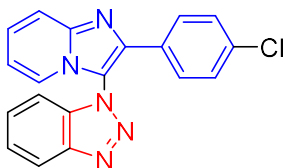
Semisolid, Yield (37.4 mg, 60%); ^1H NMR (500 MHz, CDCl_3) δ 8.26 (d, $J = 9.3$ Hz, 1H), 7.80 (d, $J = 9.7$ Hz, 1H), 7.48 (dt, $J = 9.4, 7.4$ Hz, 5H), 7.42 – 7.36 (m, 1H), 7.25 (t, $J = 7.0$ Hz, 3H), 7.14 (d, $J = 8.9$ Hz, 1H), 6.86 (t, $J = 7.4$ Hz, 1H). ^{13}C NMR (150 MHz,) δ 145.80, 144.07, 141.39, 134.01, 131.50, 129.30, 128.80, 128.71, 127.08, 126.69, 125.05, 122.44, 120.65, 118.04, 113.63, 109.75. FT-IR (KBr) 2972, 2813, 2738, 1588, 1385, 1352, 1043, 760. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{14}\text{N}_5$: 312.1249 found: 312.1248.

1-(6-chloro-2-(4-chlorophenyl)imidazo[1,2-a]pyridin-3-yl)-1H-benzo[d][1,2,3]triazole (**6b**):



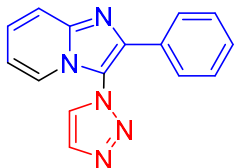
White solid; mp 175 °C, Yield (41.8 mg, 55%); ^1H NMR (600 MHz, CDCl_3) δ 8.27 (dd, $J = 6.0, 3.2$ Hz, 1H), 7.72 (d, $J = 9.6$ Hz, 1H), 7.56 (d, $J = 1.4$ Hz, 1H), 7.52 – 7.50 (m, 2H), 7.38 (d, $J = 8.7$ Hz, 2H), 7.35 (dd, $J = 9.2, 2.1$ Hz, 1H), 7.22 – 7.20 (m, 2H), 7.11 (dd, $J = 6.0, 2.6$ Hz, 1H). ^{13}C NMR (150 MHz,) δ 145.85, 142.45, 141.04, 135.15, 133.71, 129.71, 129.62, 129.07, 128.47, 128.28, 125.37, 122.36, 120.91, 120.47, 118.48, 109.50. FT-IR (KBr) 2930, 1590, 1383, 1352, 1120, 760. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{12}\text{N}_5\text{Cl}_2$: 380.0470 found: 380.0488.

1-(2-(4-chlorophenyl)imidazo[1,2-a]pyridin-3-yl)-1H-benzo[d][1,2,3]triazole (**6c**):



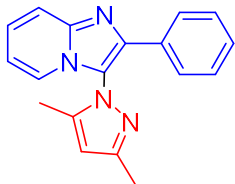
Semisolid, Yield (36 mg, 52%); ^1H NMR (600 MHz, CDCl_3) δ 8.24 – 8.23 (m, 1H), 7.77 (d, J = 1.8 Hz, 1H), 7.48 – 7.43 (m, 5H), 7.25 – 7.22 (m, 3H), 7.10 (dd, J = 7.0, 2.0 Hz, 1H), 6.84 – 6.82 (m, 1H). ^{13}C NMR (150 MHz,) δ 145.81, 143.85, 142.06, 133.87, 133.40, 131.09, 129.46, 129.08, 128.78, 127.10, 125.18, 122.84, 120.75, 116.92, 115.28, 109.66. FT-IR (KBr) 2981, 1535, 1456, 1356, 1264, 1033, 747. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{13}\text{N}_5\text{Cl}$: 346.0859 found: 346.0871.

2-phenyl-3-(1H-1,2,3-triazol-1-yl)imidazo[1,2-a]pyridine (**6d**):



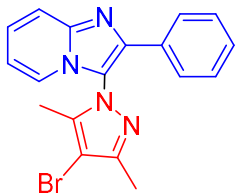
Semisolid, Yield (27.2 mg, 52%); ^1H NMR (600 MHz, CDCl_3) δ 8.01 (s, 1H), 7.80 – 7.74 (m, 2H), 7.66 (d, J = 6.8 Hz, 1H), 7.49 (dd, J = 4.9, 3.4 Hz, 2H), 7.37 (d, J = 8.2 Hz, 1H), 7.36 – 7.33 (m, 3H), 6.91 (t, J = 6.8 Hz, 1H). ^{13}C NMR (150 MHz,) δ 143.69, 140.40, 134.81, 131.28, 130.13, 129.08, 128.96, 127.60, 127.36, 126.79, 122.52, 118.01, 113.92. FT-IR (KBr) 2967, 2937, 2866, 1631, 1537, 1465, 1270, 1171, 1039, 759. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{12}\text{N}_5$: 262.1093 found: 262.1086.

3-(3,5-dimethyl-1H-pyrazol-1-yl)-2-phenylimidazo[1,2-a]pyridine (**6e**):



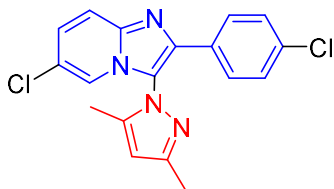
Semisolid, Yield (47.3 mg, 82%); ^1H NMR (600 MHz, CDCl_3) δ 7.70 (d, J = 9.1 Hz, 1H), 7.63 (d, J = 6.8 Hz, 1H), 7.55 (d, J = 7.3 Hz, 2H), 7.34 (t, J = 7.5 Hz, 2H), 7.31 – 7.26 (m, 2H), 6.83 (t, J = 6.8 Hz, 1H), 6.12 (s, 1H), 2.38 (s, 3H), 1.87 (s, 3H). ^{13}C NMR (150 MHz,) δ 152.20, 143.23, 143.13, 140.05, 132.18, 128.66, 128.33, 126.80, 125.96, 122.70, 117.58, 113.07, 107.08, 13.87, 10.69. FT-IR (KBr) 2956, 2922, 2863, 1632, 1589, 1385, 1348, 1246, 1114, 1021, 758. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{17}\text{N}_4$: 289.1453 found: 289.1442.

3-(4-bromo-3,5-dimethyl-1H-pyrazol-1-yl)-2-phenylimidazo[1,2-a]pyridine (**6f**):



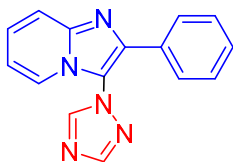
Semisolid, Yield (47.7 mg, 65%); ^1H NMR (600 MHz, CDCl_3) δ 7.71 (d, J = 9.1 Hz, 1H), 7.61 (d, J = 6.8 Hz, 1H), 7.54 (d, J = 7.2 Hz, 2H), 7.36 (t, J = 7.4 Hz, 2H), 7.32 (dd, J = 9.7, 7.3 Hz, 2H), 6.86 (t, J = 6.8 Hz, 1H), 2.40 (s, 3H), 1.89 (s, 3H). ^{13}C NMR (150 MHz,) δ 150.92, 143.26, 141.35, 140.37, 131.80, 128.78, 128.60, 126.79, 126.29, 122.50, 117.61, 113.33, 96.54, 12.73, 10.15. FT-IR (KBr) 2939, 2863, 1572, 1359, 1266, 1165, 1058, 760. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{16}\text{N}_4\text{Br}$: 367.0558 found: 367.0563.

6-chloro-2-(4-chlorophenyl)-3-(3,5-dimethyl-1H-pyrazol-1-yl)imidazo[1,2-a]pyridine (**6g**):



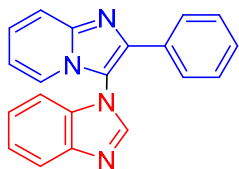
White solid; mp 141 °C, Yield (48.6 mg, 68%); ^1H NMR (600 MHz, CDCl_3) δ 7.66 (d, J = 1.6 Hz, 1H), 7.60 (d, J = 9.4 Hz, 1H), 7.43 (d, J = 8.1 Hz, 2H), 7.31 (d, J = 8.0 Hz, 2H), 7.27 – 7.25 (m, 1H), 6.15 (s, 1H), 2.39 (s, 3H), 1.89 (s, 3H). ^{13}C NMR (150 MHz,) δ 152.82, 143.15, 141.50, 140.01, 134.60, 130.39, 129.02, 128.01, 127.63, 121.68, 120.70, 118.08, 116.53, 107.57, 13.92, 10.73. FT-IR (KBr) 2813, 2729, 1624, 1593, 1387, 1349, 1121, 1021, 767. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{15}\text{N}_4\text{Cl}_2$: 357.0674 found: 357.0669.

2-phenyl-3-(1H-1,2,4-triazol-1-yl)imidazo[1,2-a]pyridine (**6h**):



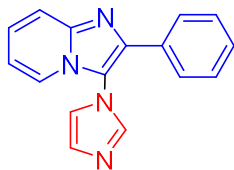
Semisolid, Yield (33 mg, 63%); ^1H NMR (600 MHz, CDCl_3) δ 8.41 (s, 1H), 8.28 (s, 1H), 7.77 (d, J = 9.1 Hz, 1H), 7.70 (d, J = 6.8 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.38 – 7.34 (m, 4H), 6.92 (t, J = 6.8 Hz, 1H). ^{13}C NMR (150 MHz,) δ 154.42, 147.01, 143.59, 131.12, 130.01, 129.01, 128.95, 128.36, 127.18, 126.75, 122.48, 117.91, 113.80. FT-IR (KBr) 2964, 2930, 1624, 1592, 1385, 1353, 1121, 761, 618. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{12}\text{N}_5$: 262.1093 found: 262.1097.

1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-benzo[d]imidazole (**6i**):



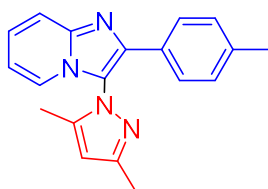
White solid; mp 170 °C, Yield (31 mg, 50%); ^1H NMR (600 MHz, CDCl_3) δ 8.02 (s, 1H), 8.00 (d, J = 8.1 Hz, 1H), 7.80 (d, J = 9.2 Hz, 1H), 7.50 (dd, J = 6.6, 3.6 Hz, 2H), 7.43 (dd, J = 7.2, 3.5 Hz, 2H), 7.34 (dt, J = 19.6, 7.4 Hz, 2H), 7.27 – 7.26 (m, 3H), 7.12 (d, J = 8.1 Hz, 1H), 6.84 (t, J = 6.8 Hz, 1H). ^{13}C NMR (150 MHz,) δ 143.77, 143.65, 143.59, 140.81, 133.82, 131.49, 129.89, 128.88, 128.75, 126.70, 126.36, 124.85, 123.88, 122.07, 121.12, 118.13, 113.58, 110.28. FT-IR (KBr) 2956, 2822, 1632, 1592, 1384, 1348, 1123, 751. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{20}\text{H}_{15}\text{N}_4$: 311.1297 found: 311.1305.

3-(1H-imidazol-1-yl)-2-phenylimidazo[1,2-a]pyridine (**6j**):



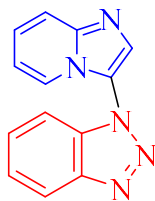
White solid; mp 175 °C, Yield (37.5 mg, 72%); ^1H NMR (600 MHz, CDCl_3) δ 7.69 (t, J = 4.5 Hz, 2H), 7.53 (d, J = 7.6 Hz, 3H), 7.43 (s, 1H), 7.35 – 7.29 (m, 4H), 7.16 (s, 1H), 6.87 (t, J = 6.8 Hz, 1H). ^{13}C NMR (150 MHz,) δ 143.03, 139.64, 138.90, 131.66, 131.55, 128.81, 128.65, 126.55, 126.04, 121.69, 120.75, 117.89, 113.53. FT-IR (KBr) 2964, 2813, 1593, 1385, 1347, 1126, 1074, 757. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{13}\text{N}_4$: 261.1140 found: 261.1144.

3-(3,5-dimethyl-1H-pyrazol-1-yl)-2-(p-tolyl)imidazo[1,2-a]pyridine (**6k**):



Semisolid, Yield (43.5 mg, 72%); ^1H NMR (600 MHz, CDCl_3) δ 7.65 (d, J = 9.2 Hz, 1H), 7.61 (d, J = 6.9 Hz, 1H), 7.43 (d, J = 8.0 Hz, 2H), 7.27 – 7.24 (m, 1H), 7.14 (d, J = 8.0 Hz, 2H), 6.81 (t, J = 6.8 Hz, 1H), 6.11 (s, 1H), 2.37 (s, 3H), 2.33 (s, 3H), 1.87 (s, 3H). ^{13}C NMR (150 MHz,) δ 152.08, 143.21, 143.07, 140.25, 138.18, 129.38, 126.62, 125.75, 122.62, 117.46, 115.70, 112.89, 106.97, 21.26, 13.87, 10.69. FT-IR (KBr) 2928, 2852, 1637, 1592, 1566, 1520, 1355, 1024, 837, 756. HRMS $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{19}\text{N}_4$: 303.1610 found: 303.1613.

1-(imidazo[1,2-a]pyridin-3-yl)-1H-benzo[d][1,2,3]triazole (**13**):

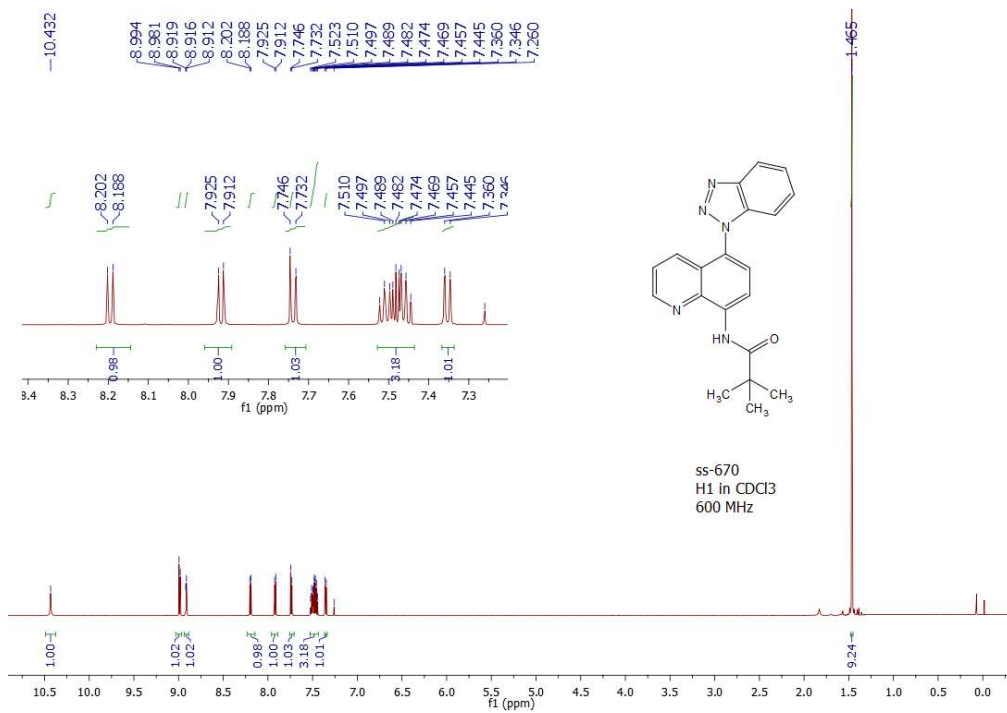
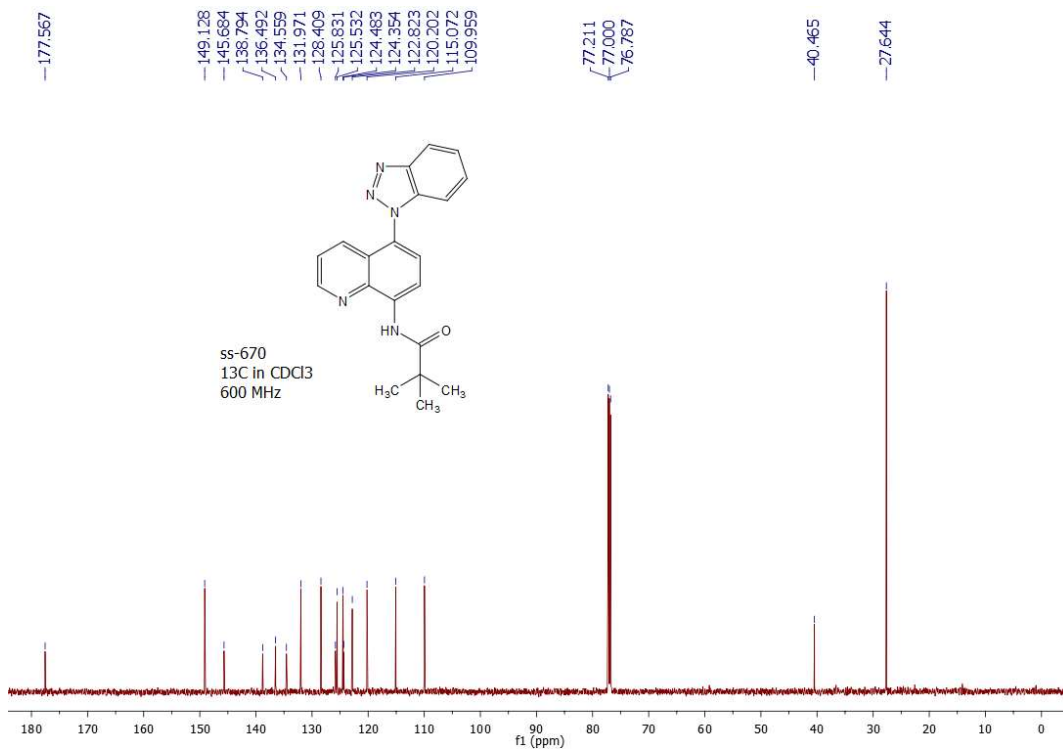


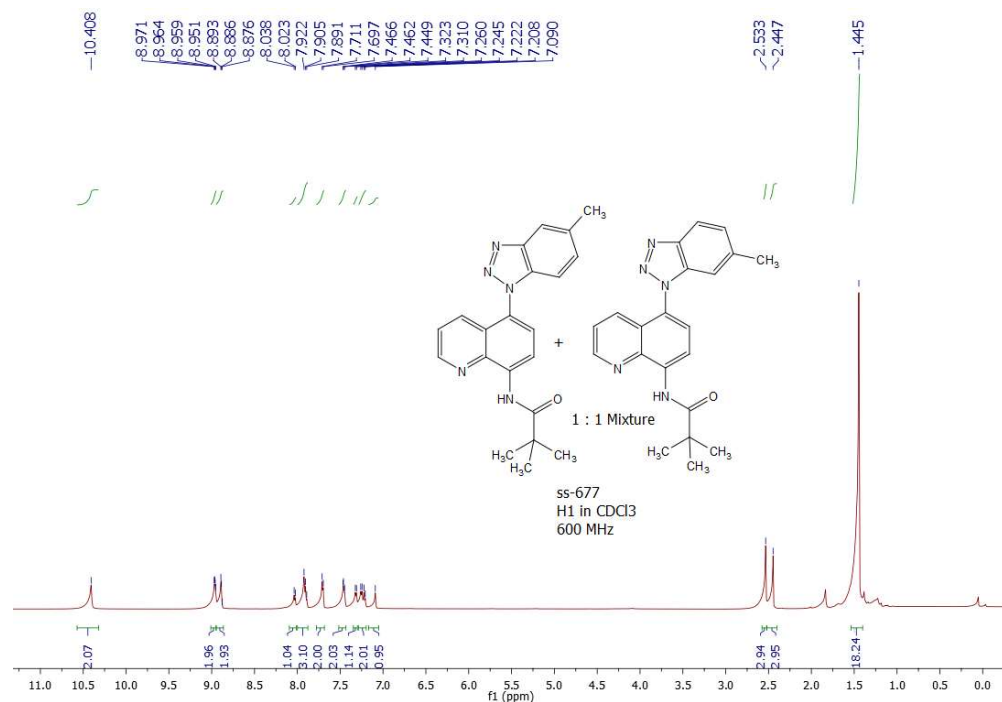
White solid; mp 180 °C, Yield (25.9 mg, 55%); ^1H NMR (600 MHz, CDCl_3) δ 8.22 (d, $J = 8.3$ Hz, 1H), 7.96 (s, 1H), 7.93 (d, $J = 6.9$ Hz, 1H), 7.78 (d, $J = 9.1$ Hz, 1H), 7.60 (t, $J = 7.6$ Hz, 1H), 7.53 – 7.49 (m, 1H), 7.47 (d, $J = 8.5$ Hz, 1H), 7.41 – 7.34 (m, 1H), 6.92 (t, $J = 6.8$ Hz, 1H). ^{13}C NMR (150 MHz,) δ 145.62, 144.91, 134.41, 129.38, 129.31, 126.37, 125.18, 123.03, 120.66, 118.57, 113.88, 109.70. FT-IR (KBr) 2813, 2729, 1590, 1503, 1382, 1353, 1234, 1054, 763. HRMS $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{13}\text{H}_9\text{N}_5\text{Na}$: 258.0756 found: 258.0749

References

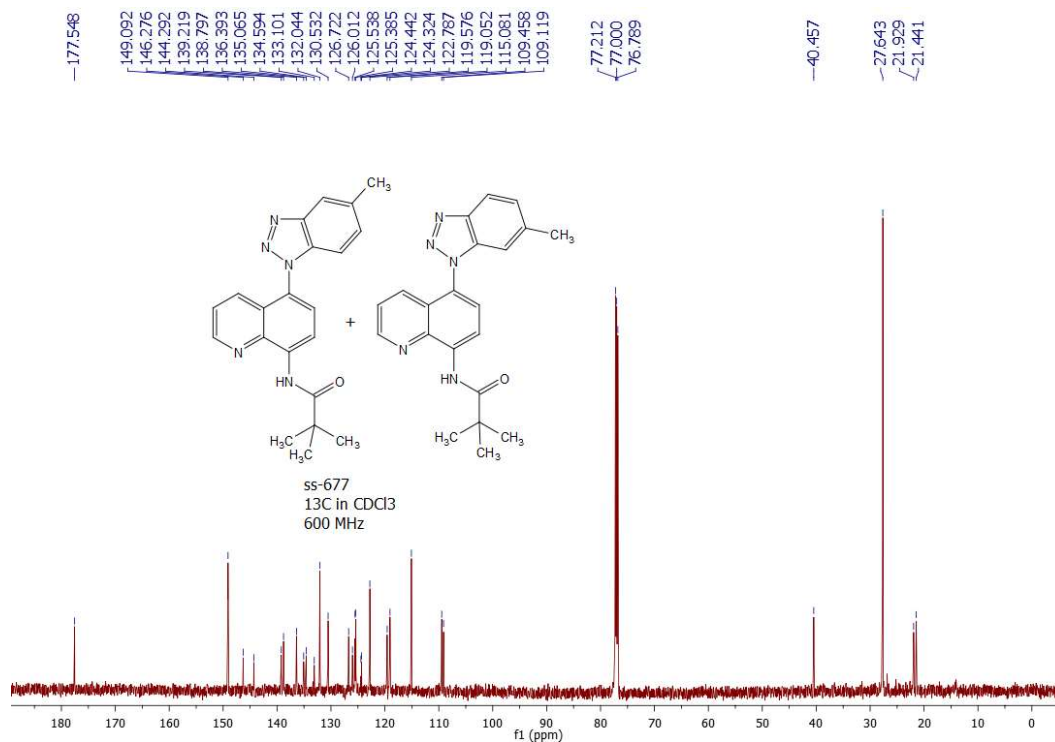
1. Yi, H.; Chen, H.; Bian, C.; Tang, Z.; Singh, A. K.; Qi, X.; Yue, X.; Yu, L.; Lee J-F.; Lei, A. *Chem. Commun.*, **2017**, 53, 6736.

Copies of NMR spectra for products

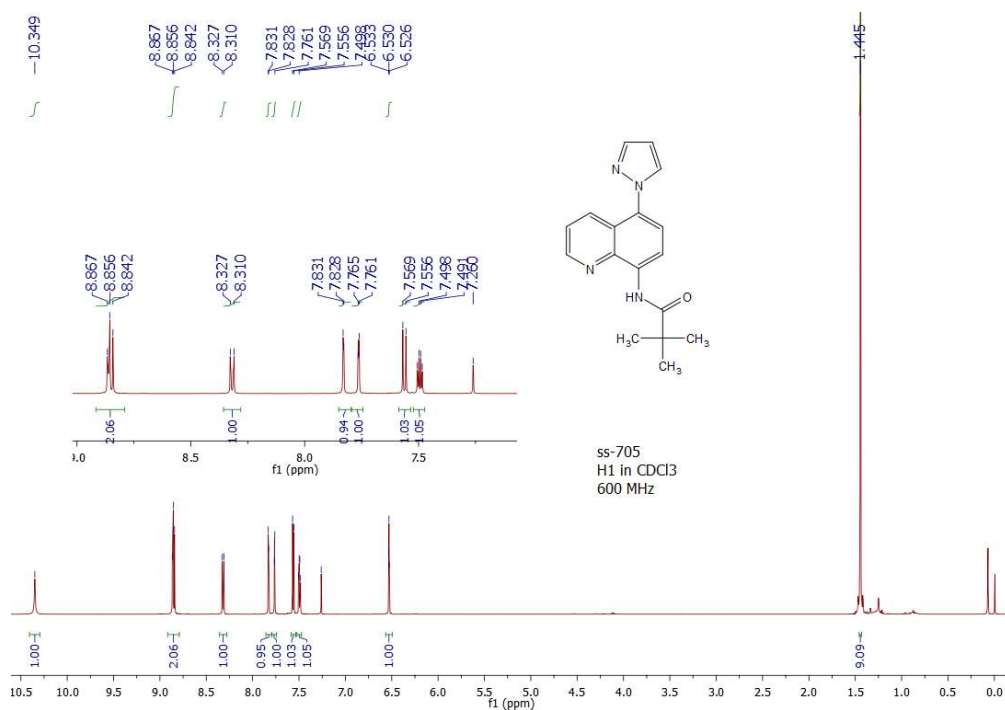
¹H NMR of **3a**¹³C NMR of **3a**



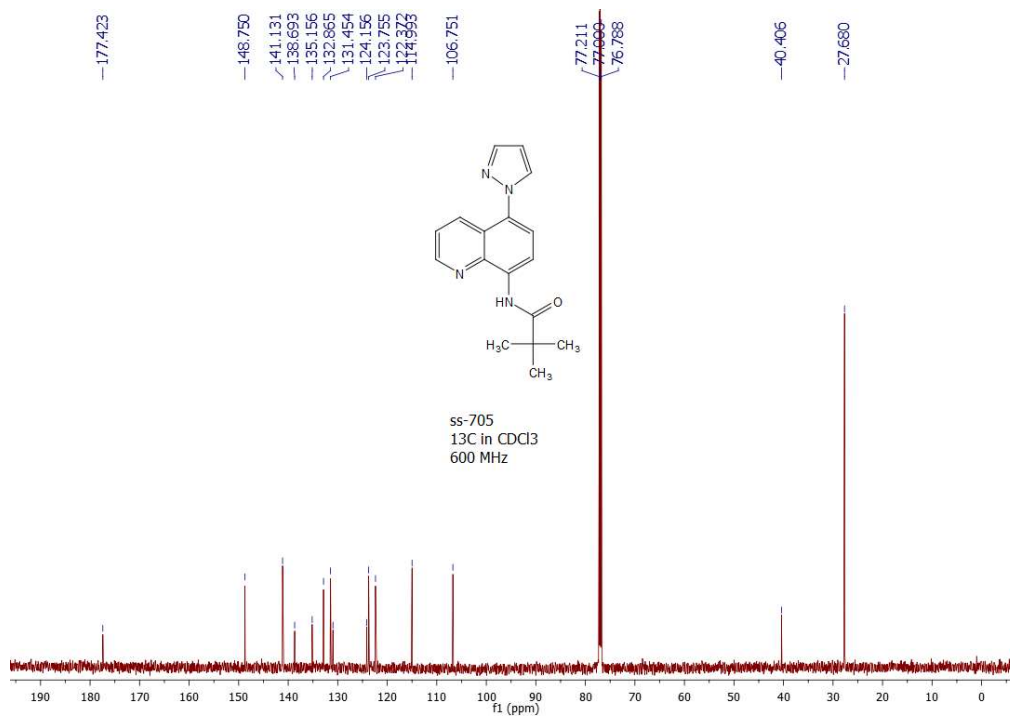
¹H NMR of **3b**



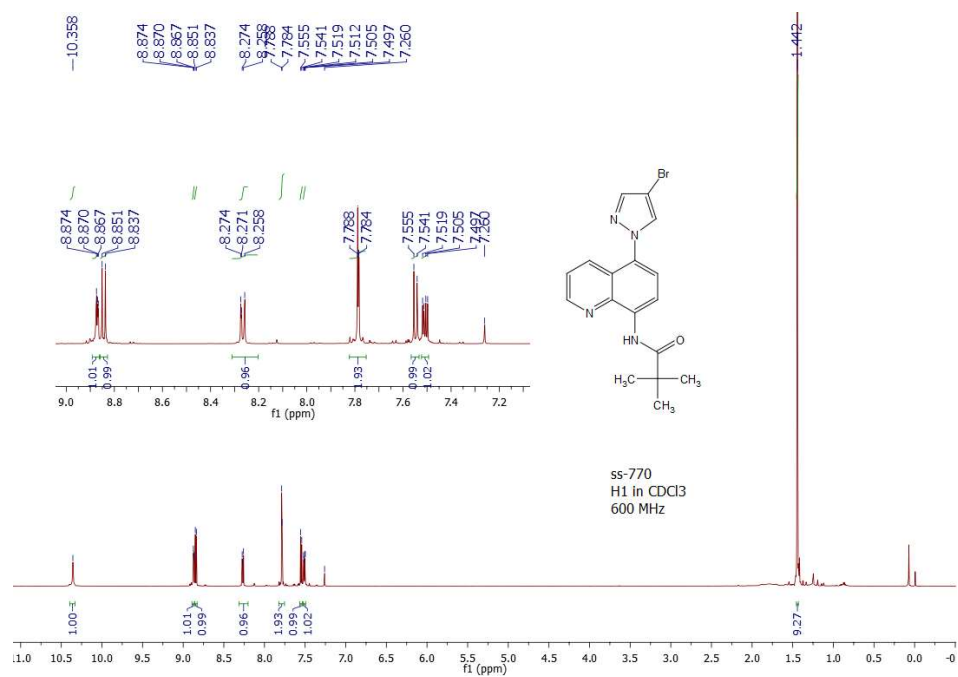
¹³C NMR of **3b**



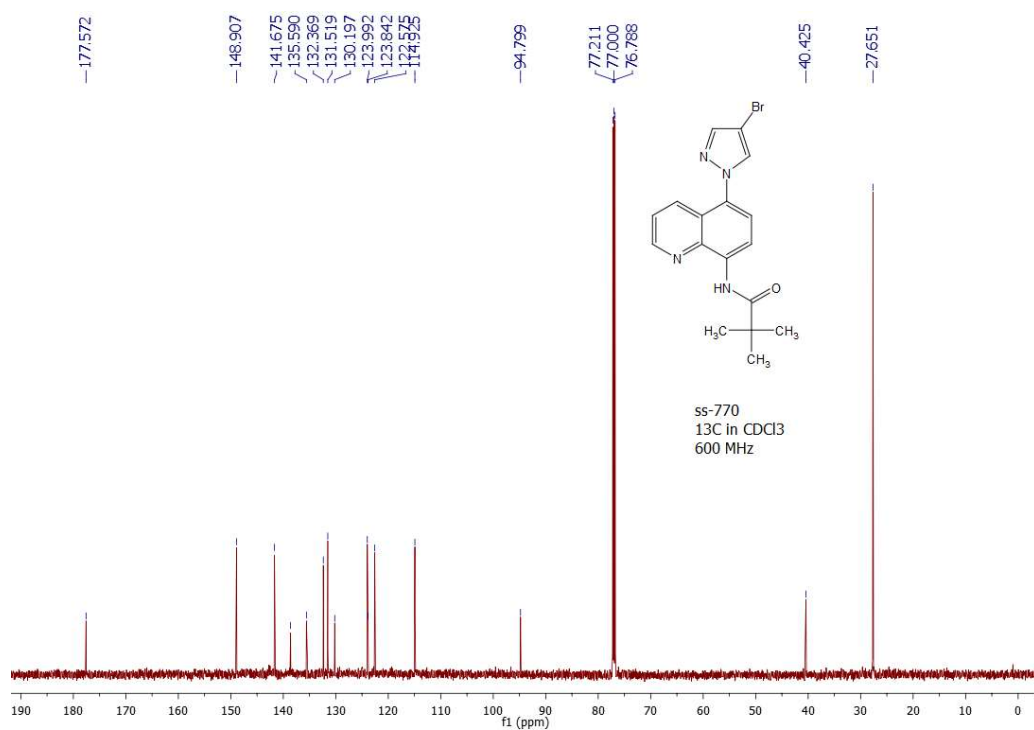
¹H NMR of **3c**



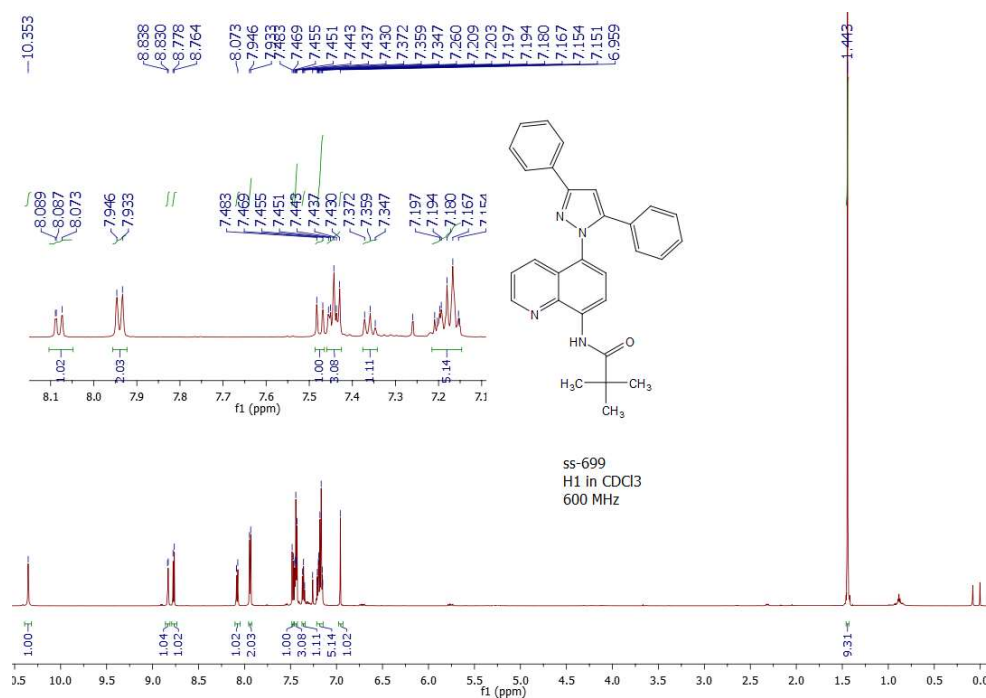
¹³C NMR of **3c**



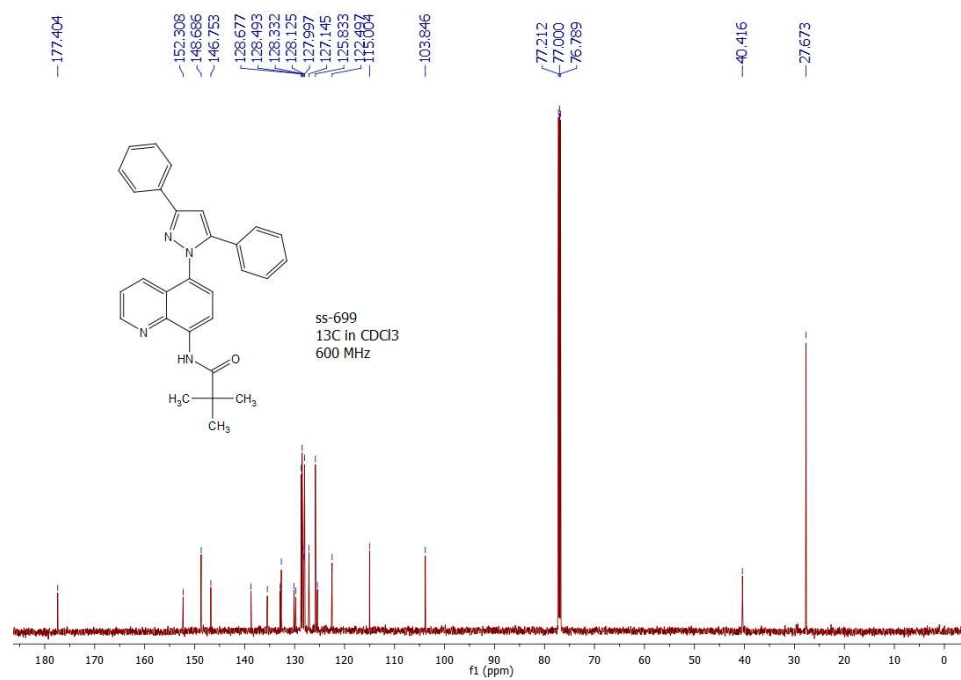
¹H NMR of **3d**



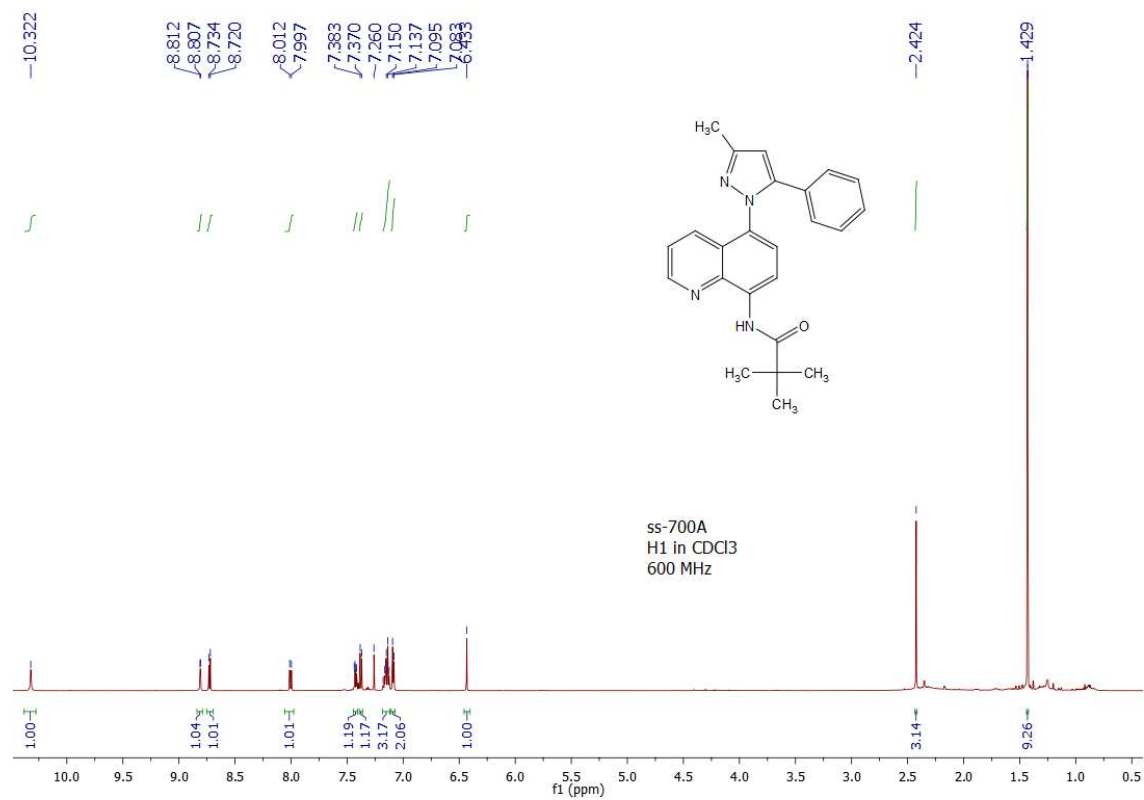
¹³C NMR of **3d**



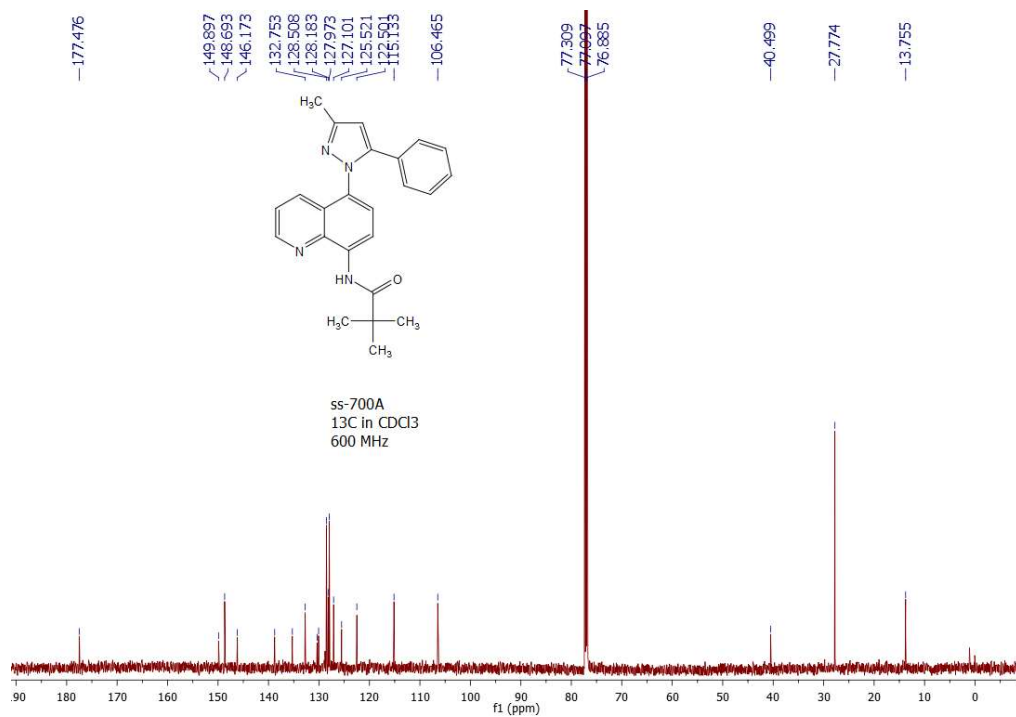
¹H NMR of **3e**



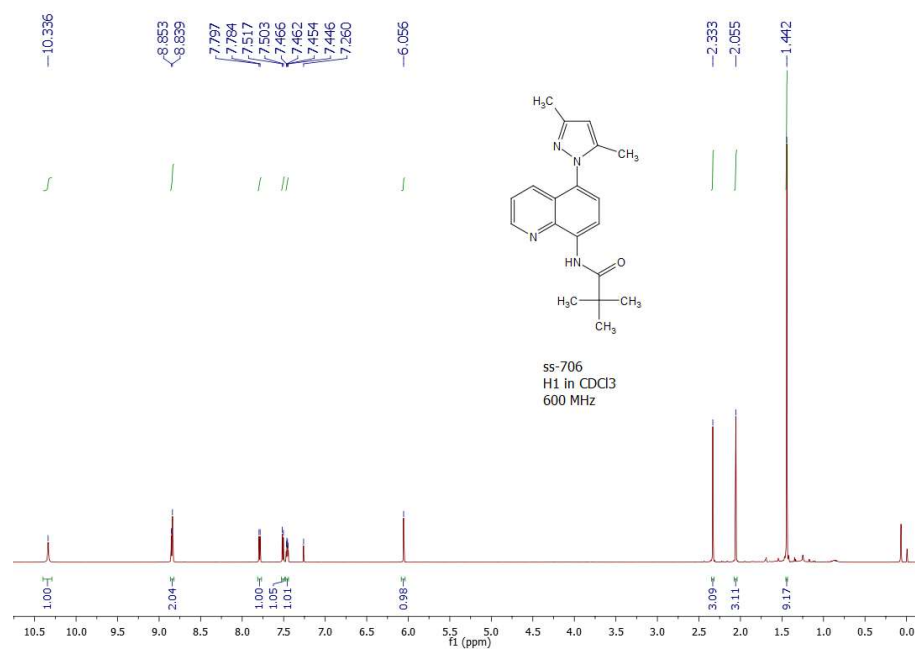
¹³C NMR of **3e**



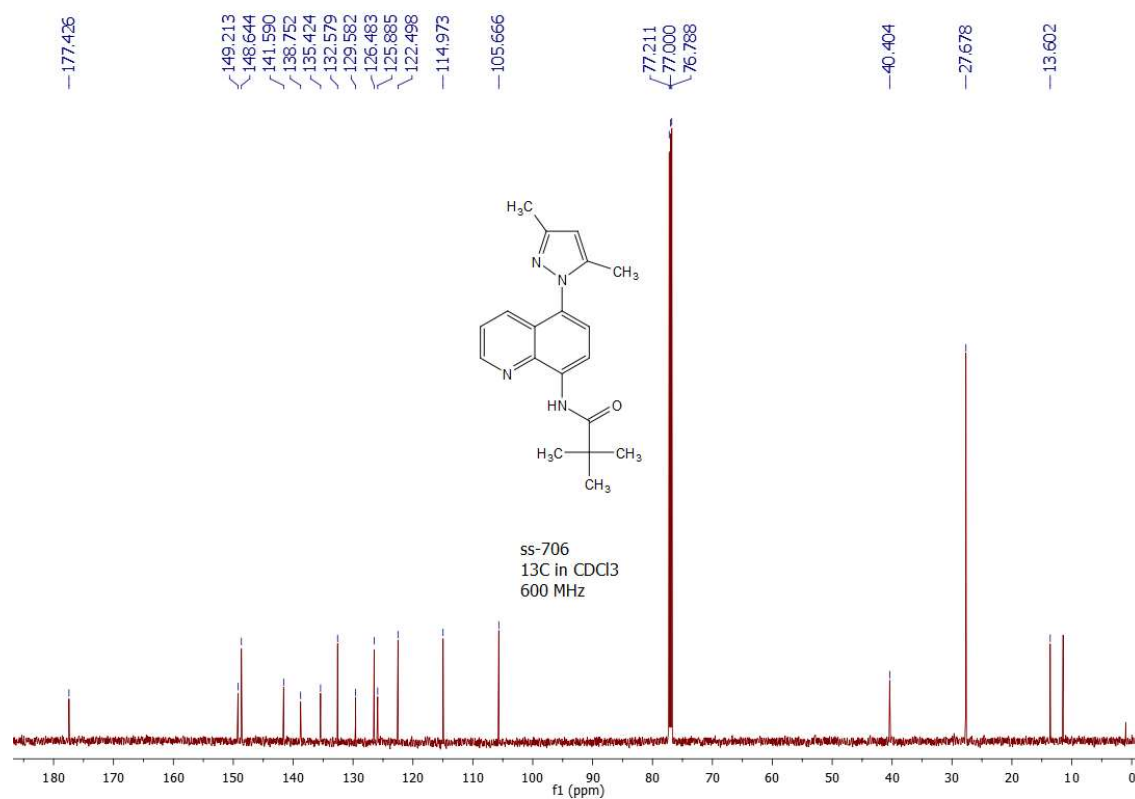
¹H NMR of **3f**



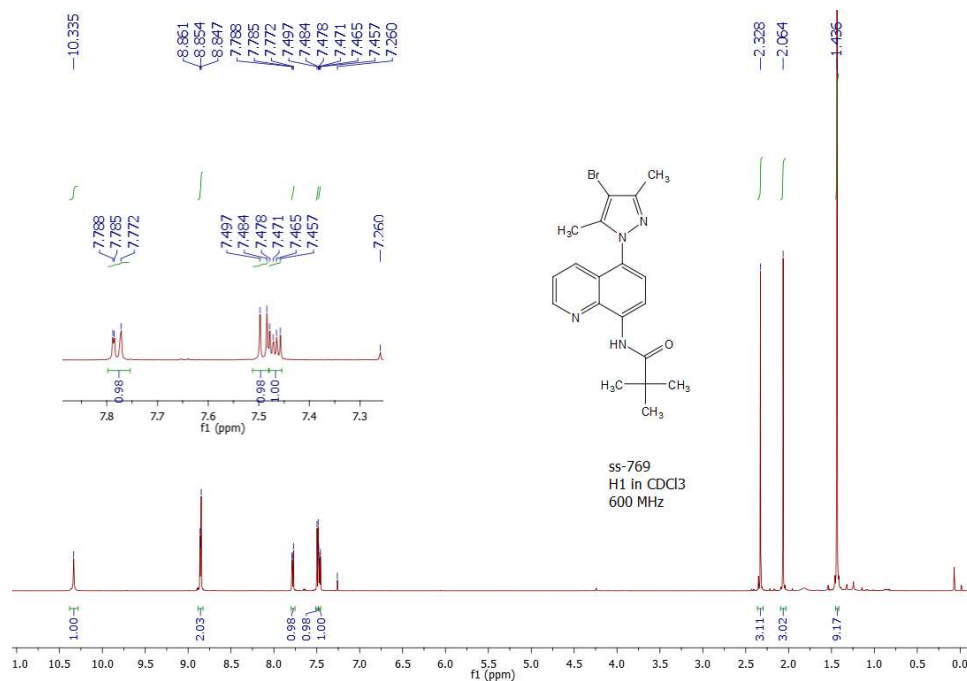
¹³C NMR of **3f**



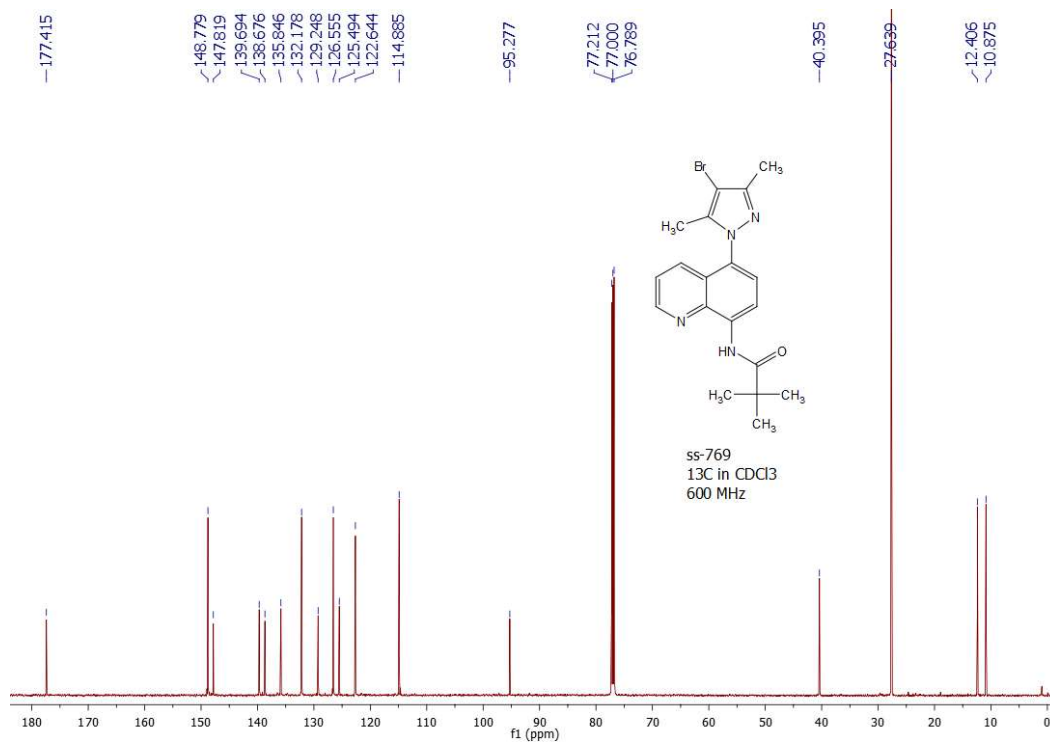
¹H NMR of **3g**



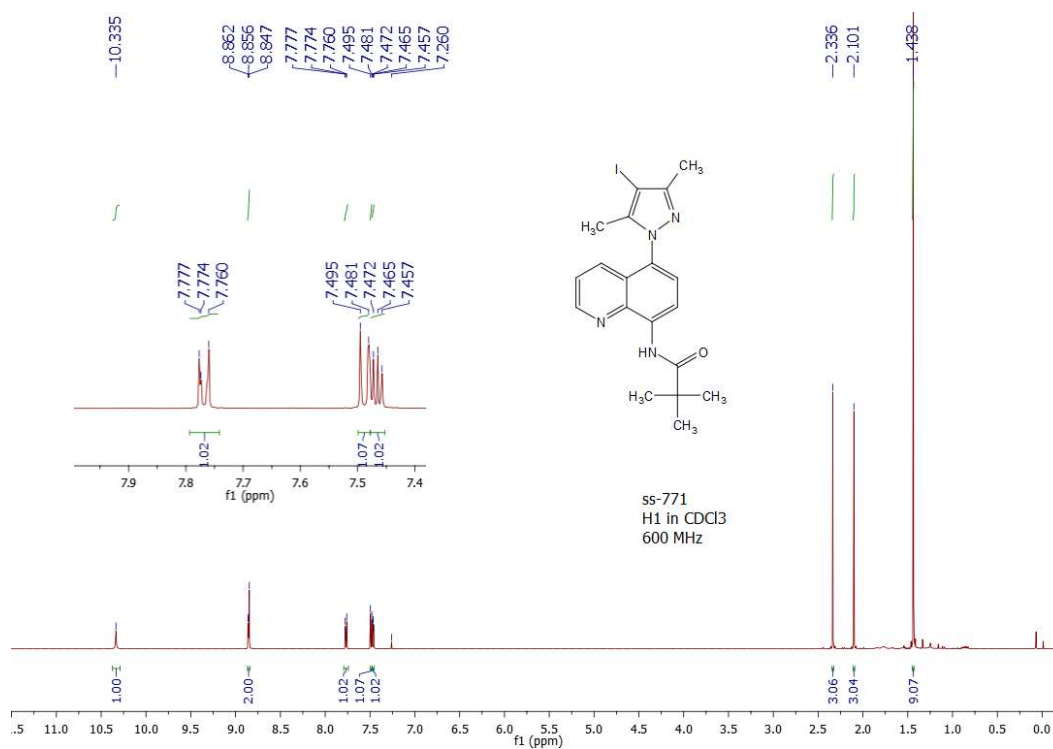
¹³C NMR of **3g**



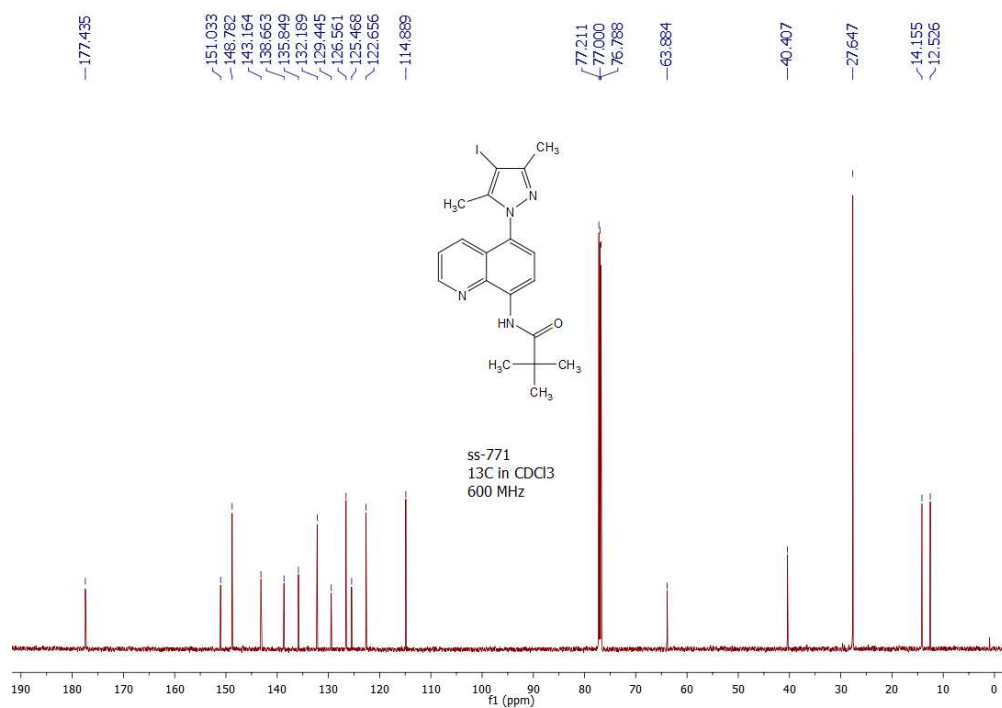
¹H NMR of 3h



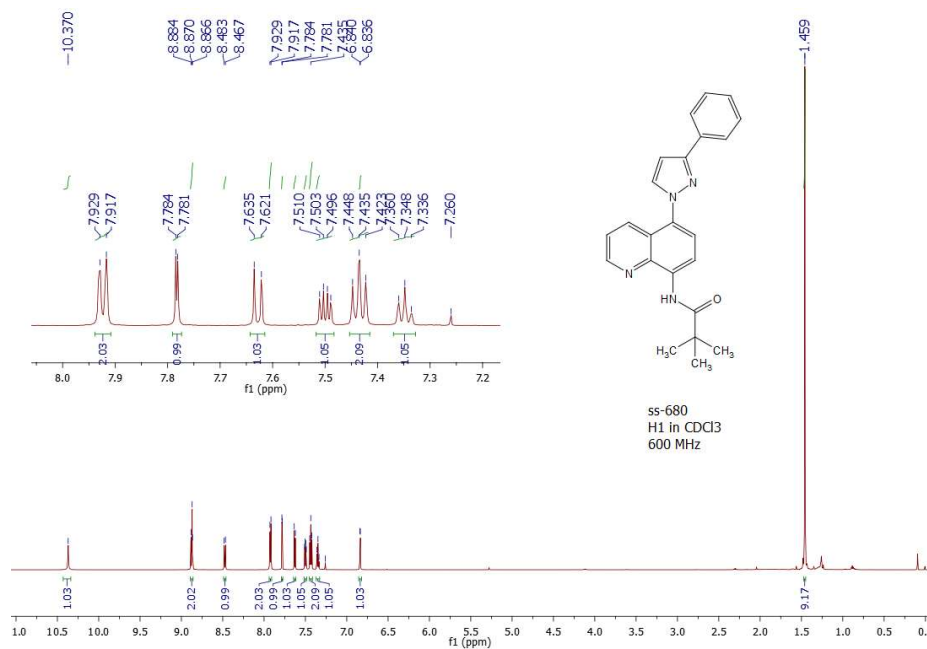
¹³C NMR of 3h



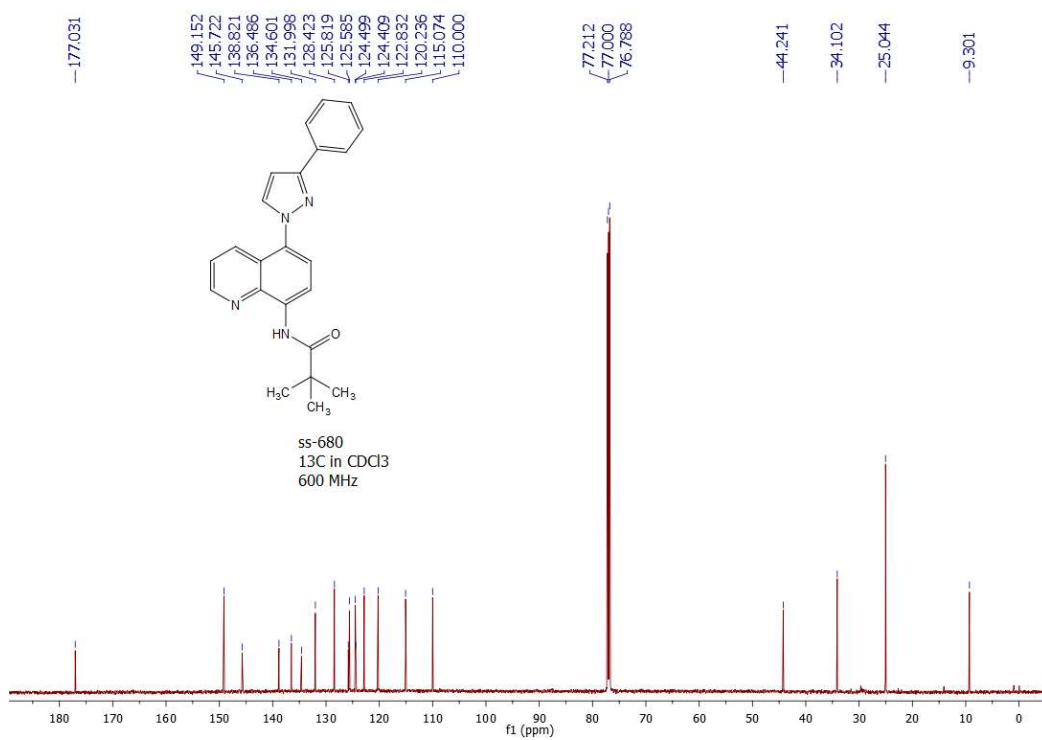
¹H NMR of 3i



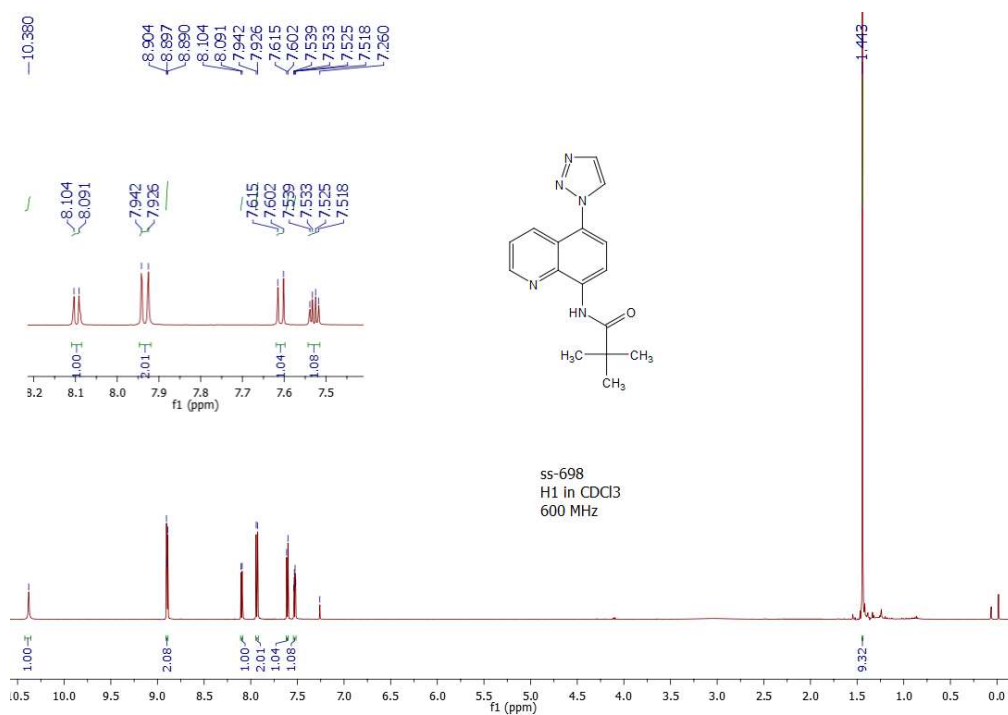
¹³C NMR of 3i



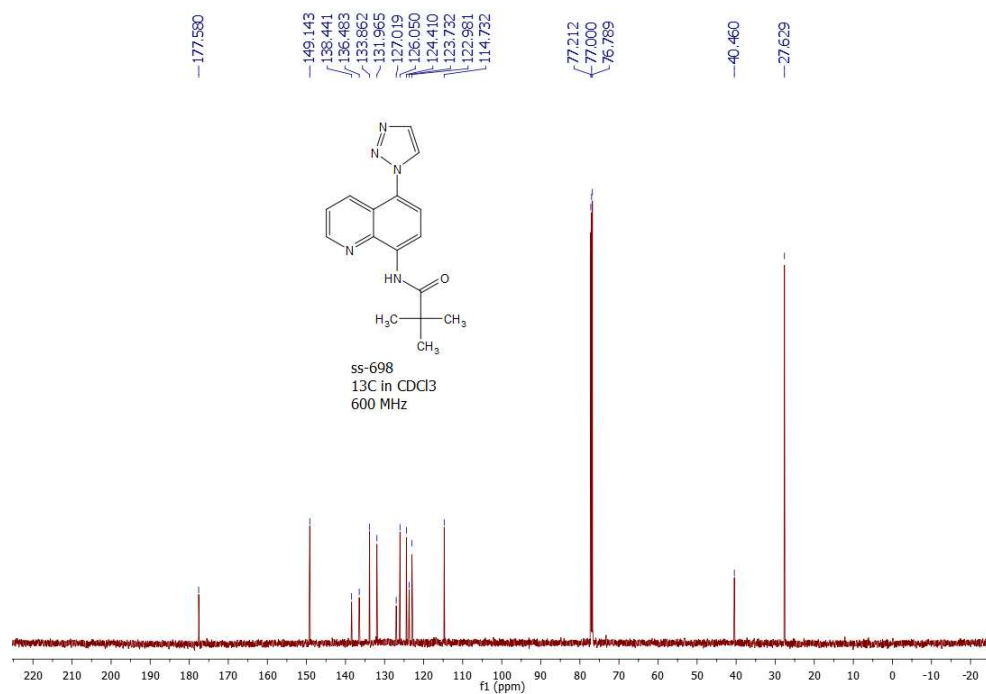
¹H NMR of **3j**



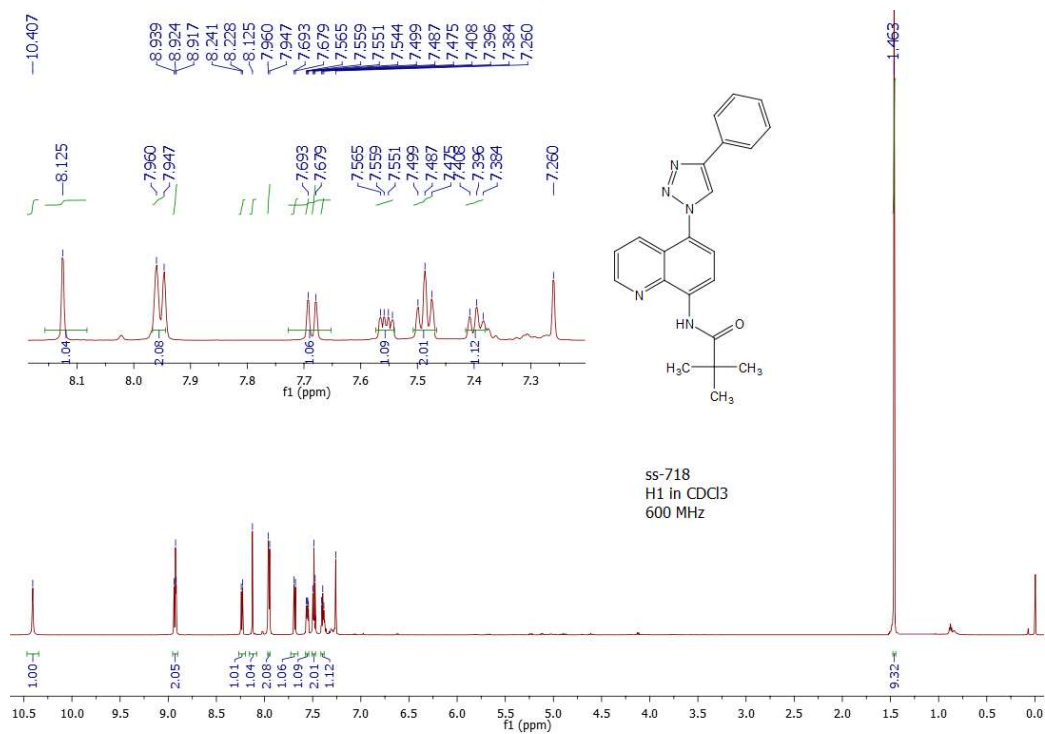
¹³C NMR of **3j**



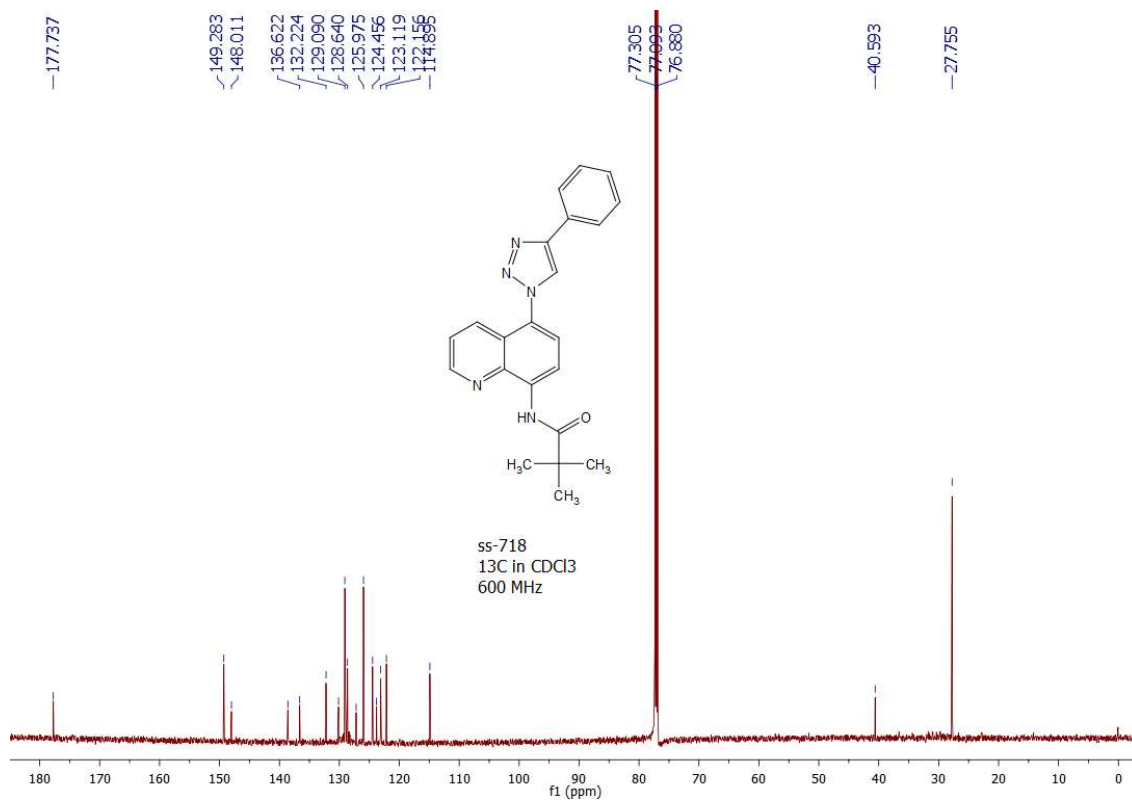
¹H NMR of 3k



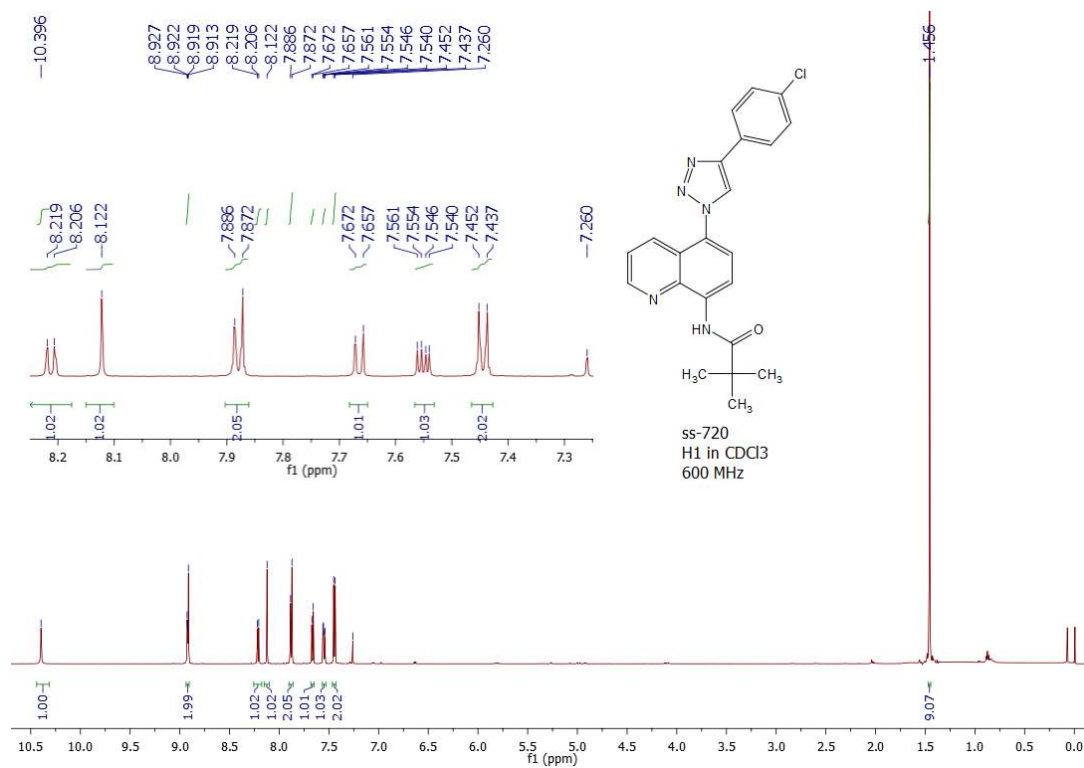
¹³C NMR of 3k



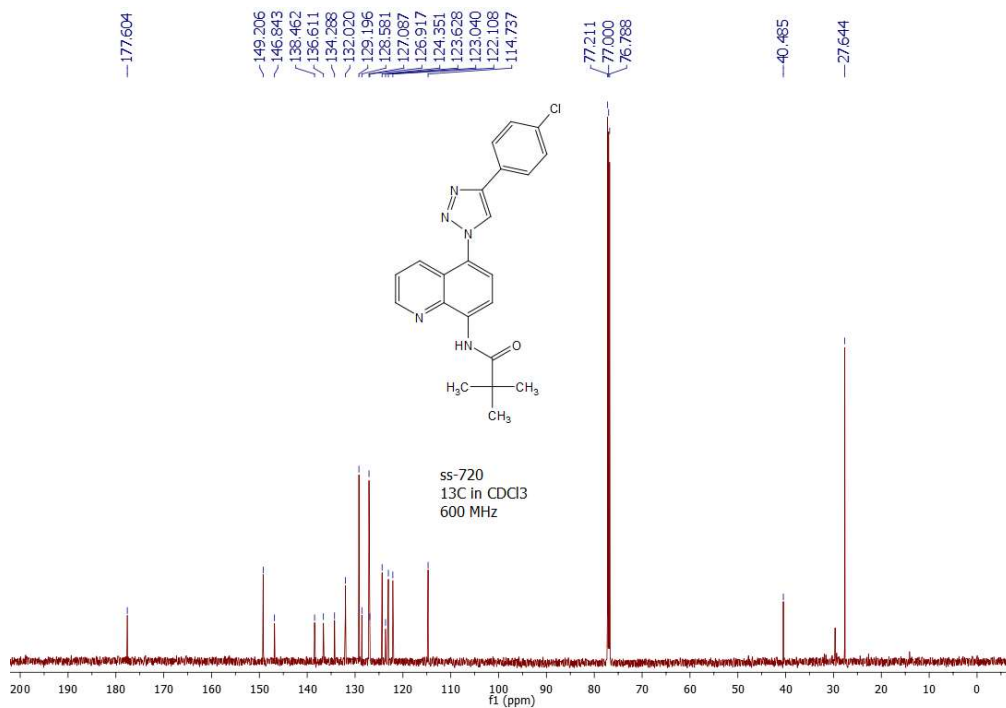
¹H NMR of **31**



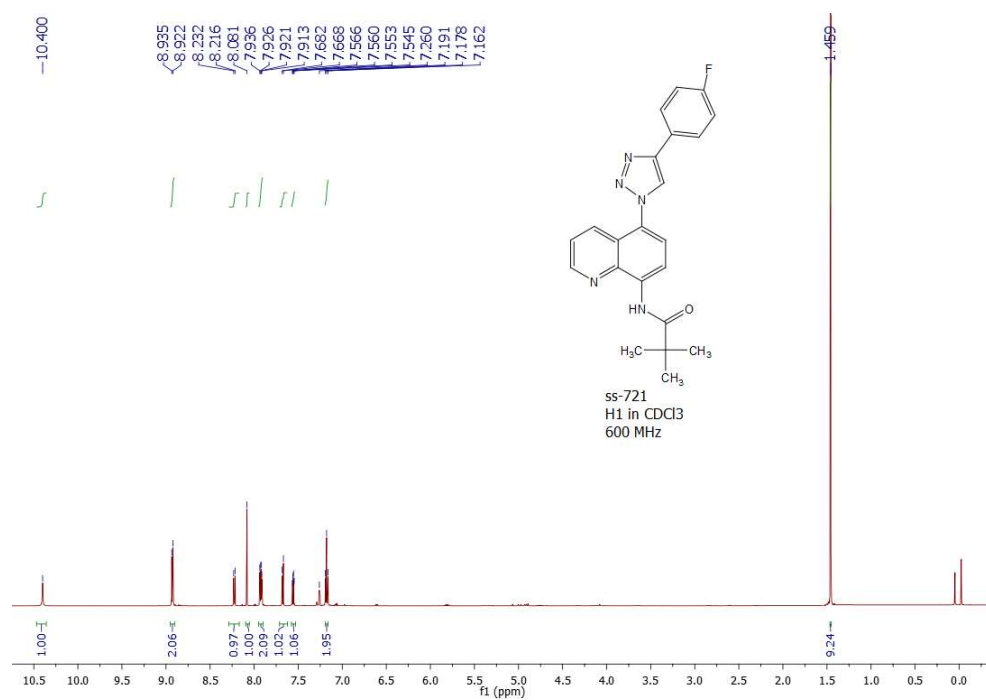
¹³C NMR of **31**



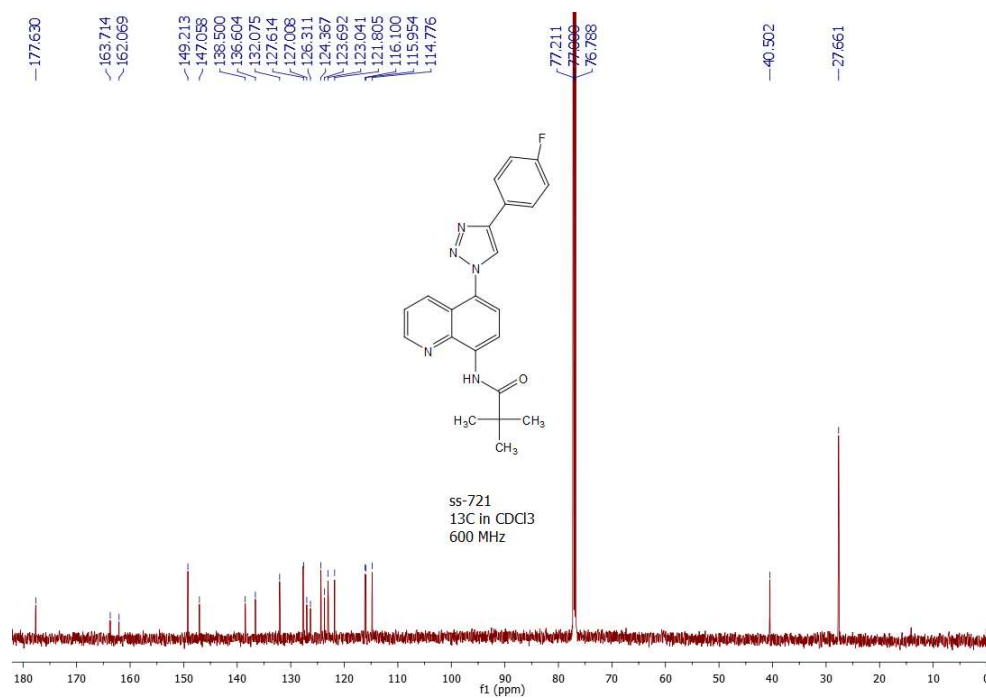
¹H NMR of 3m



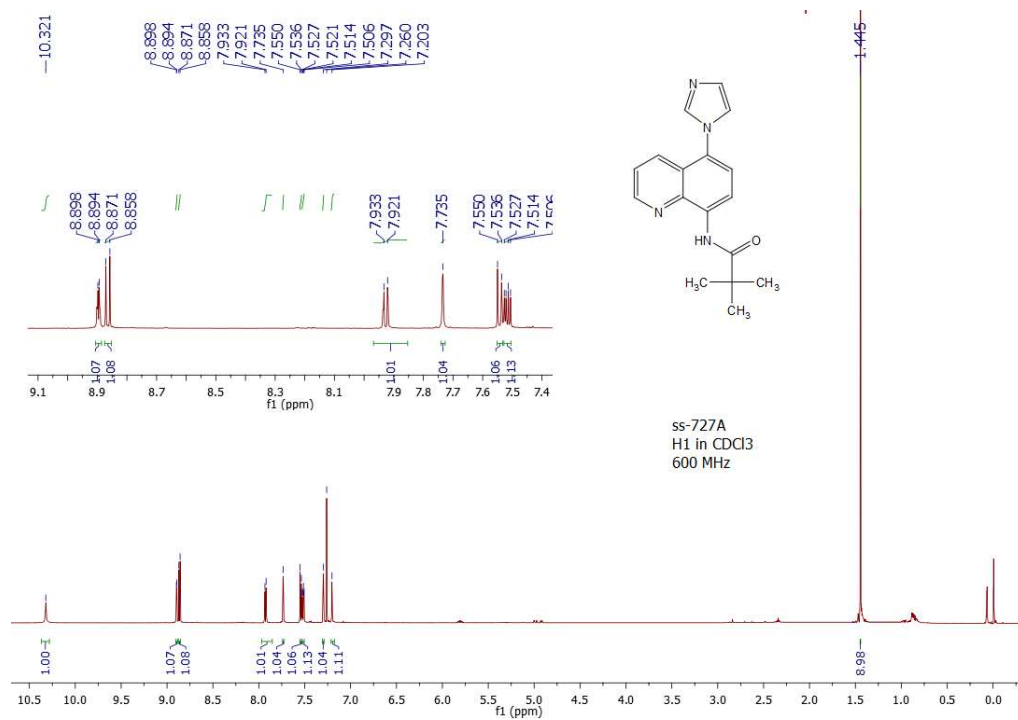
¹³C NMR of 3m



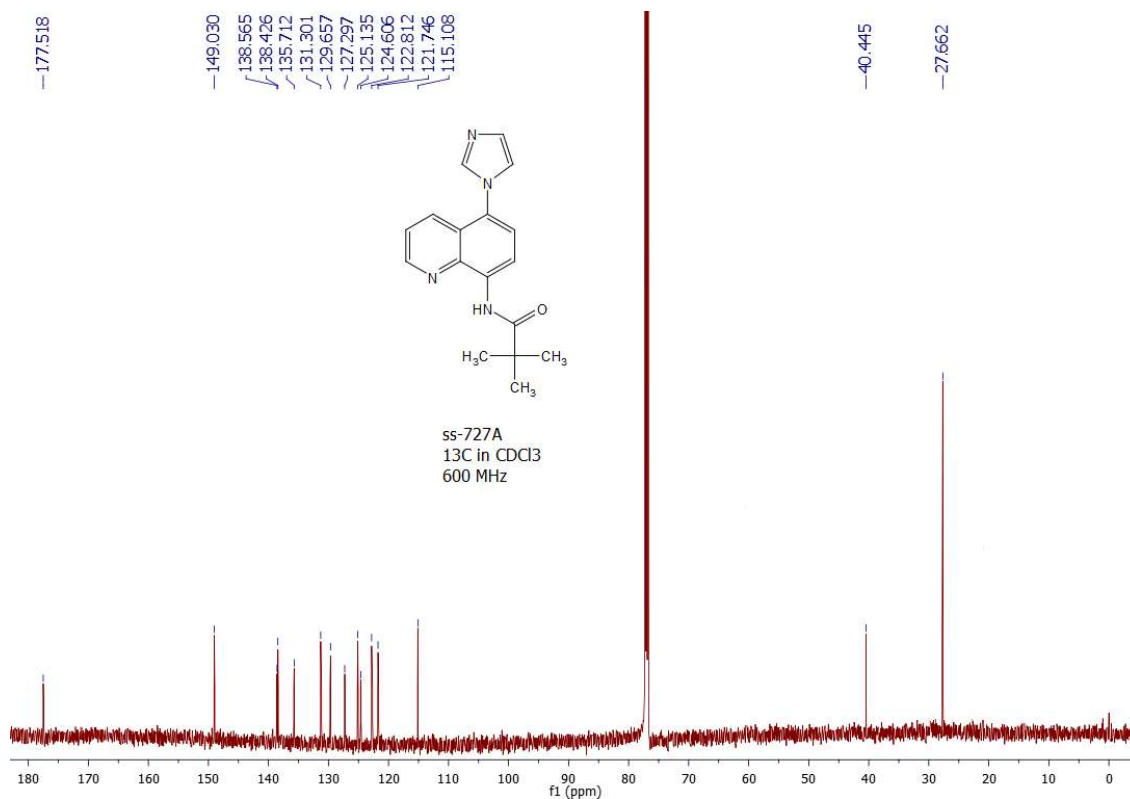
¹H NMR of **3n**



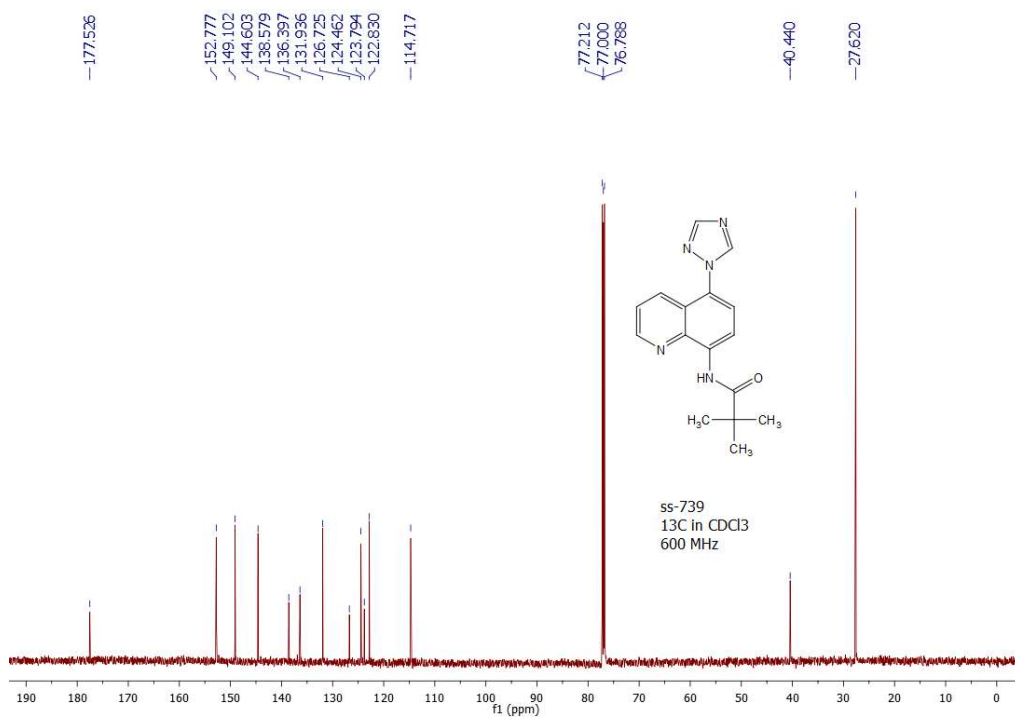
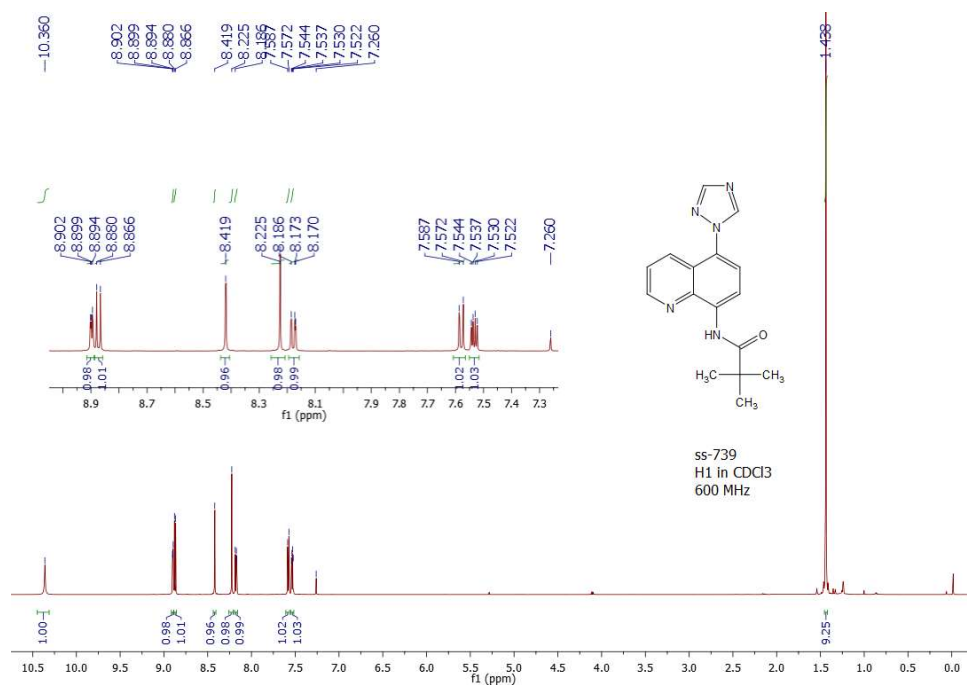
¹³C NMR of **3n**

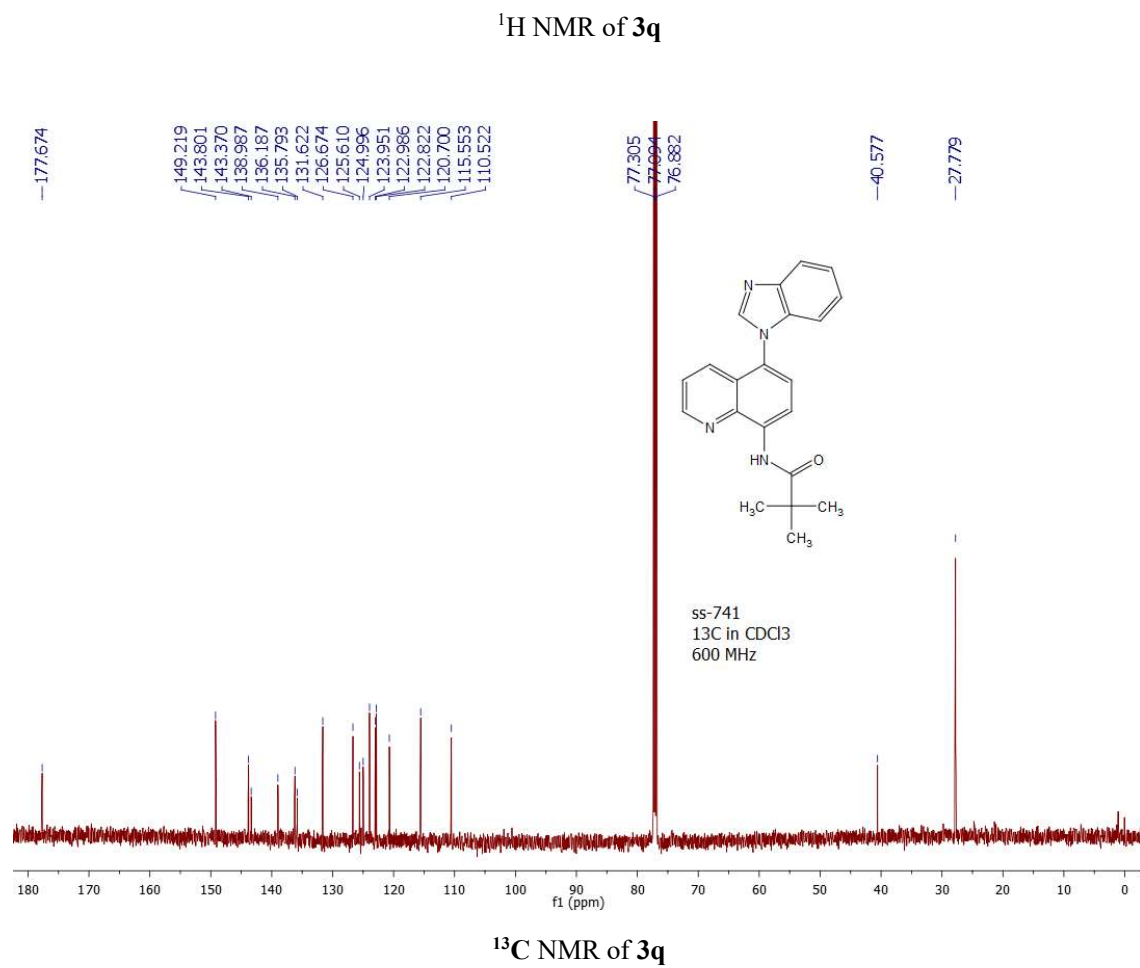
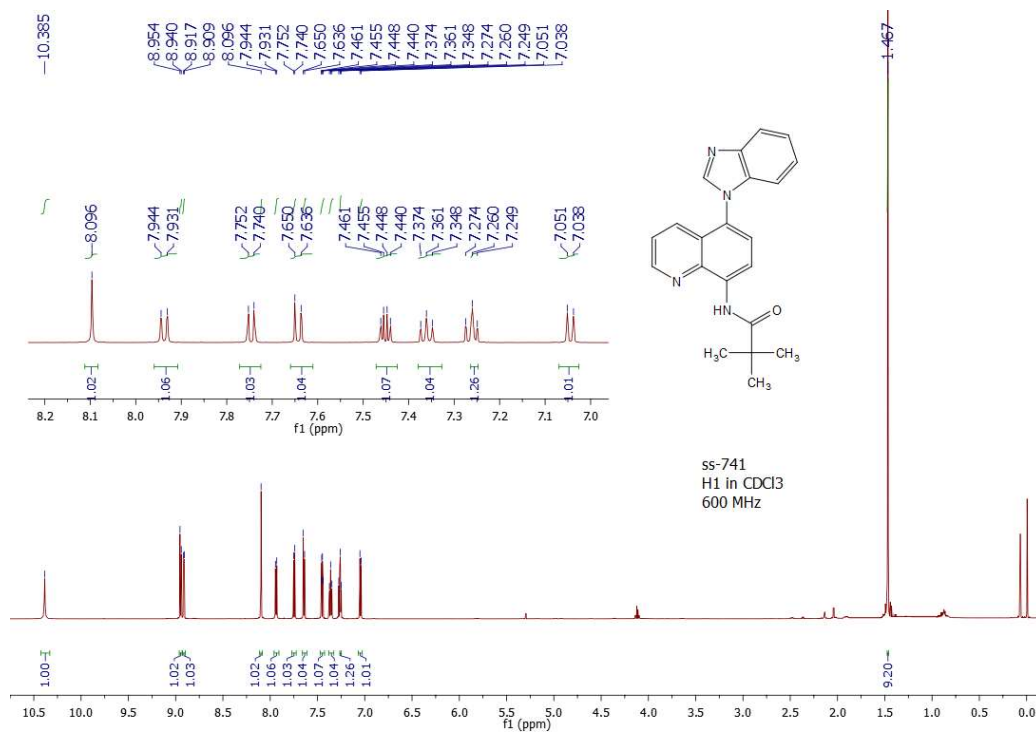


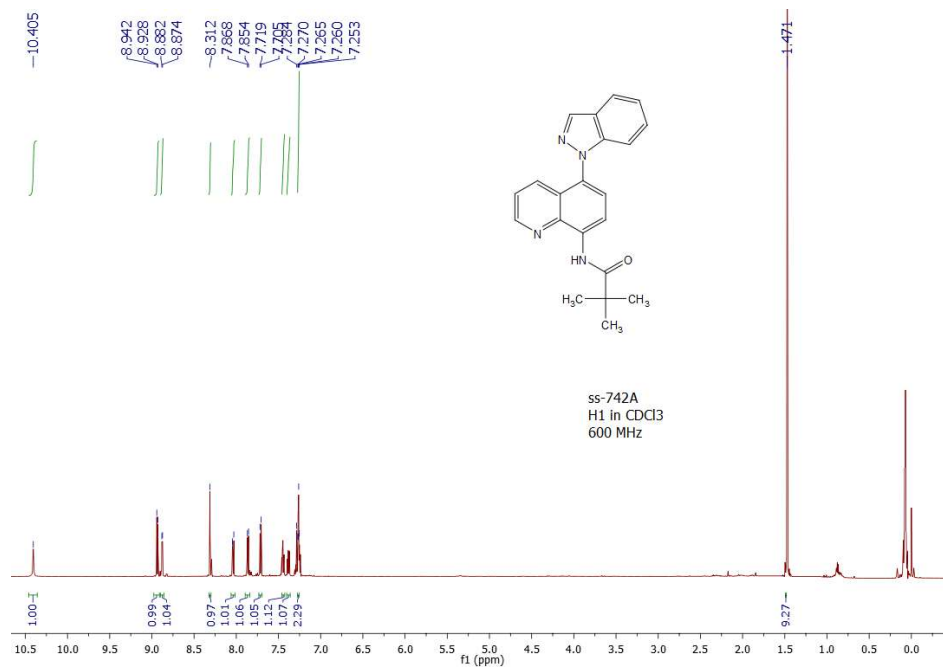
¹H NMR of **3o**



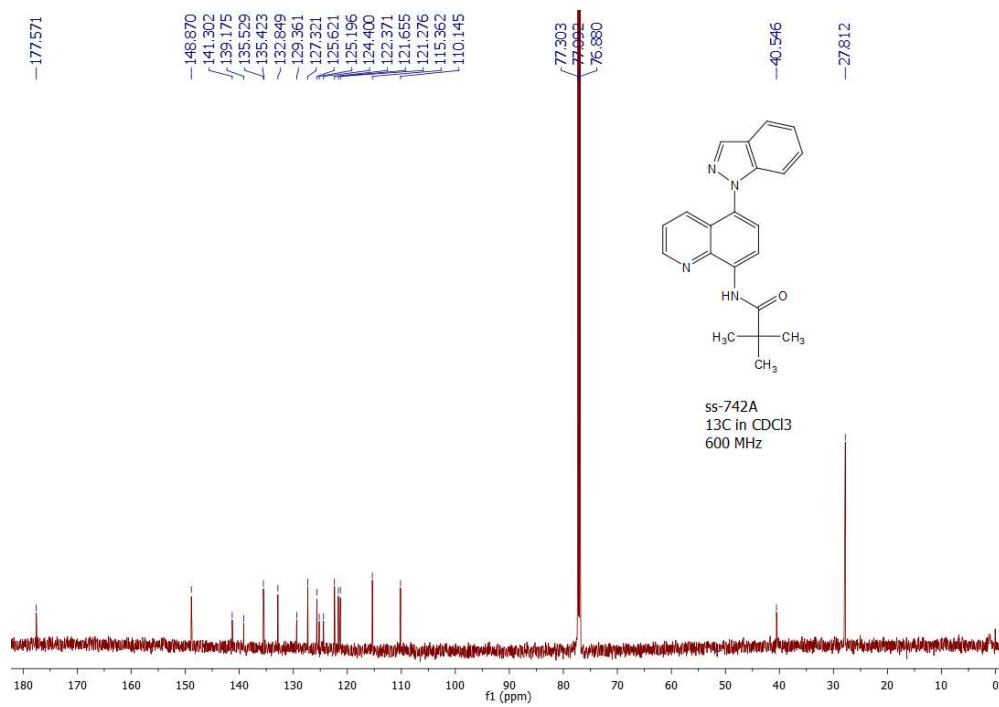
¹³C NMR of **3o**



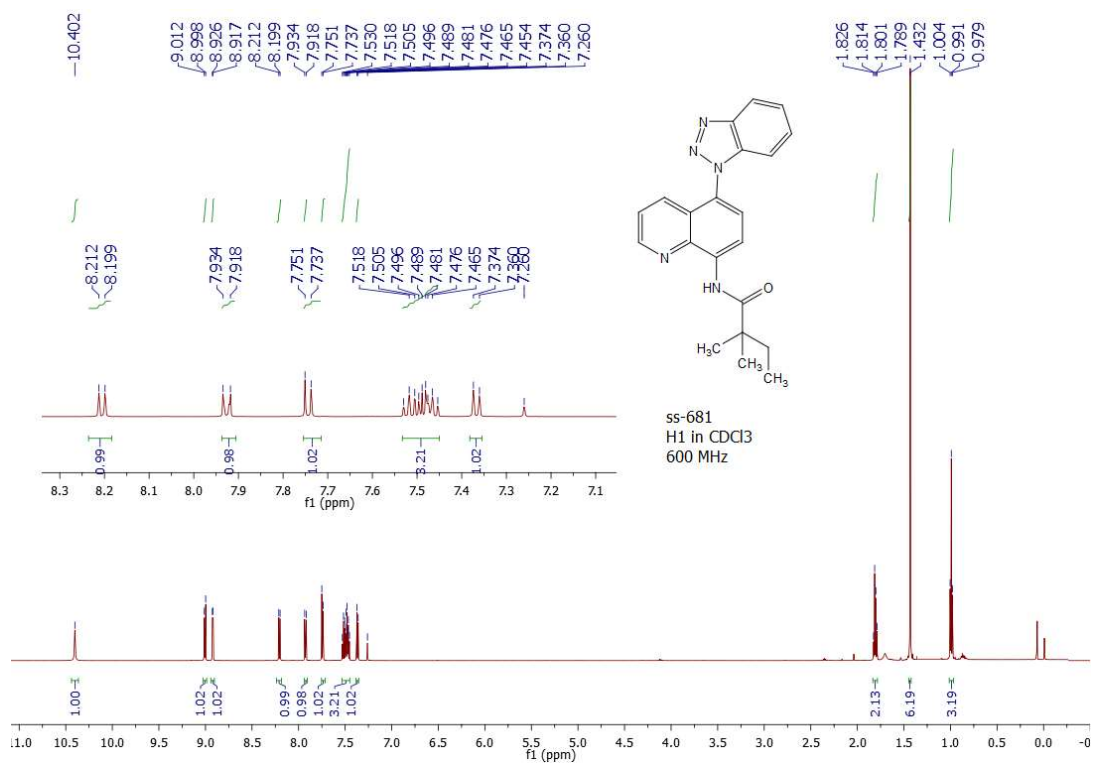




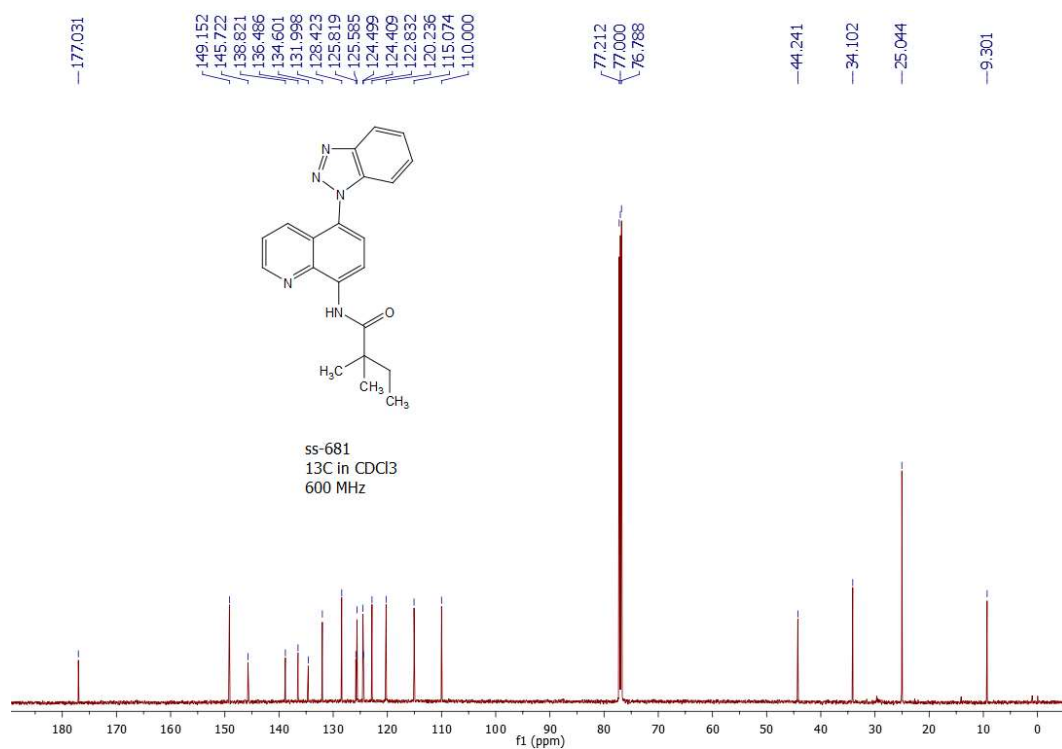
¹H NMR of **3r**



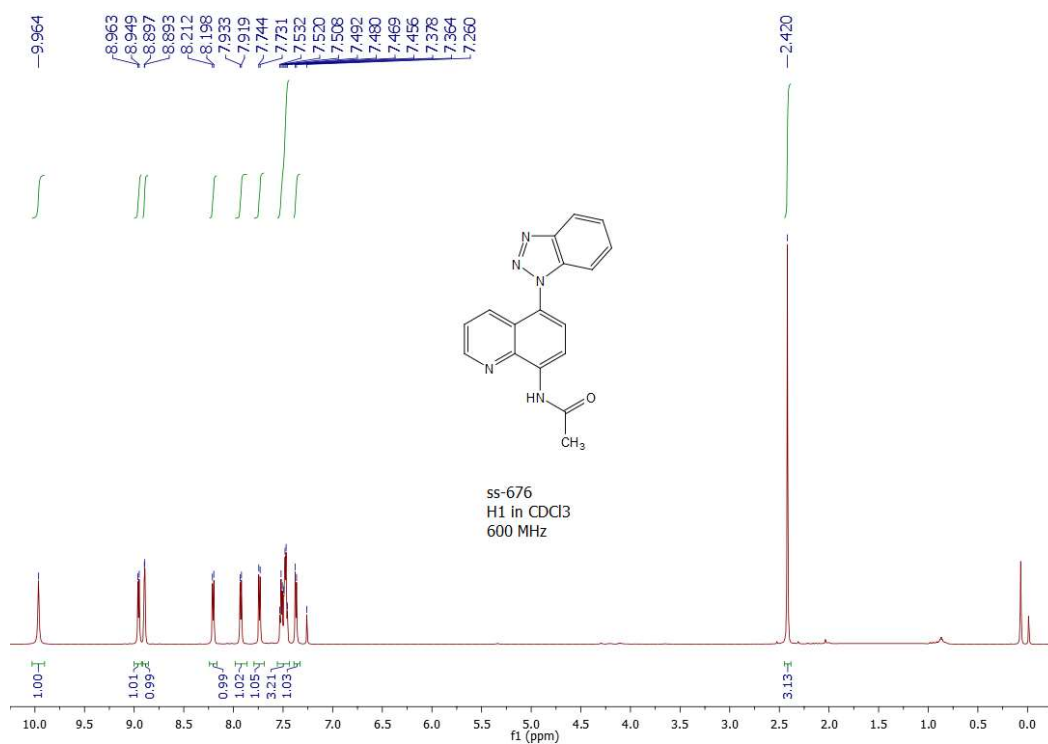
¹³C NMR of **3r**



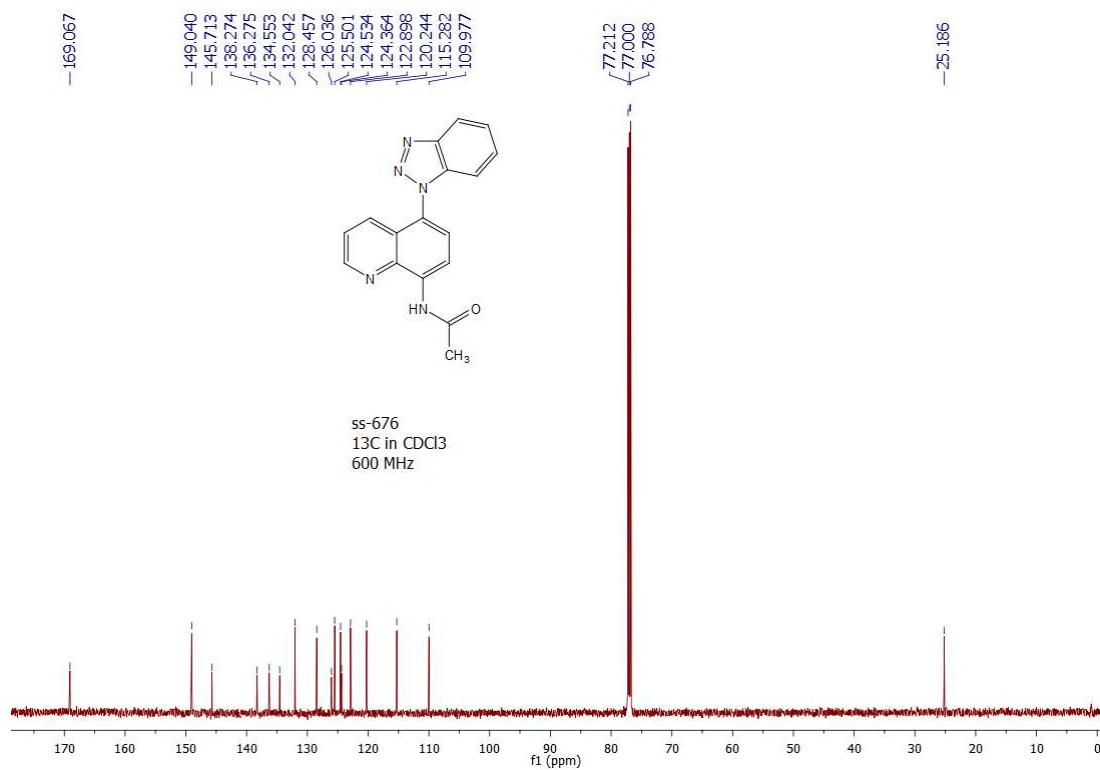
¹H NMR of 4a



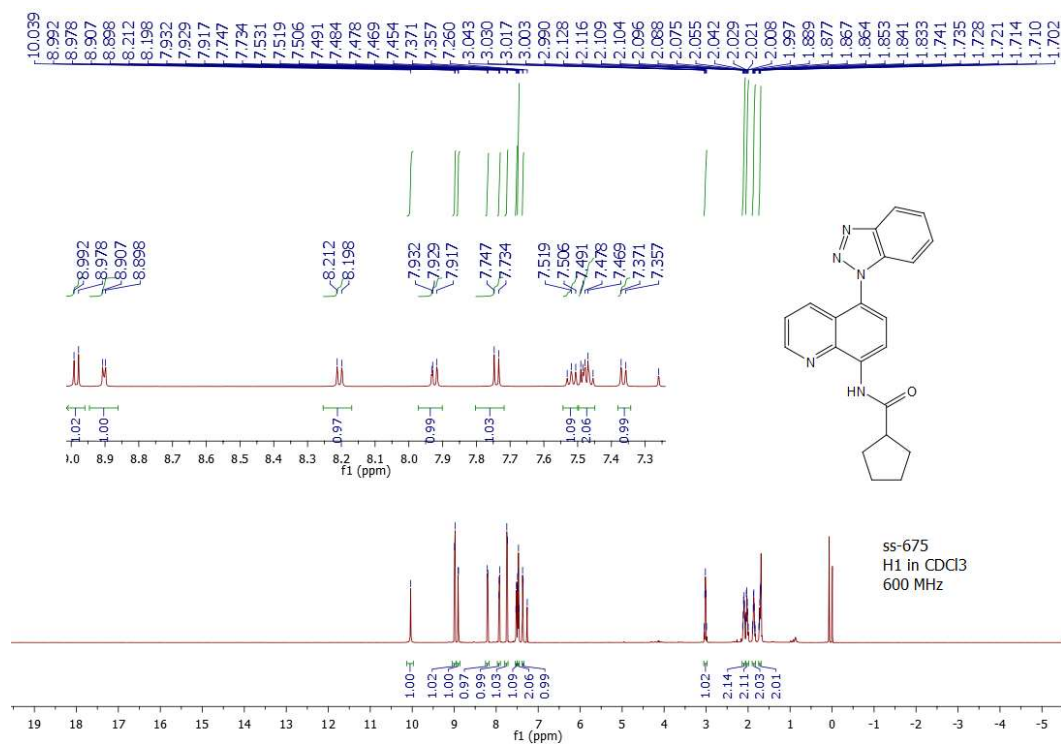
¹³C NMR of 4a



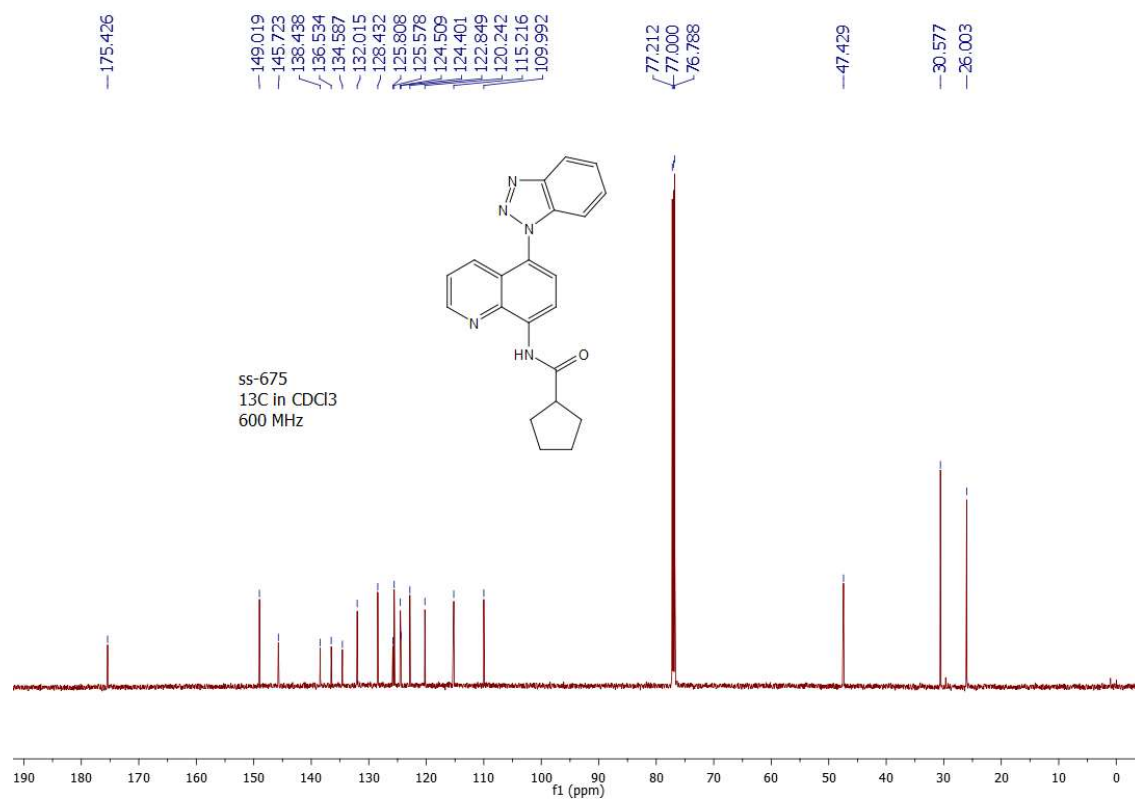
¹H NMR of 4b



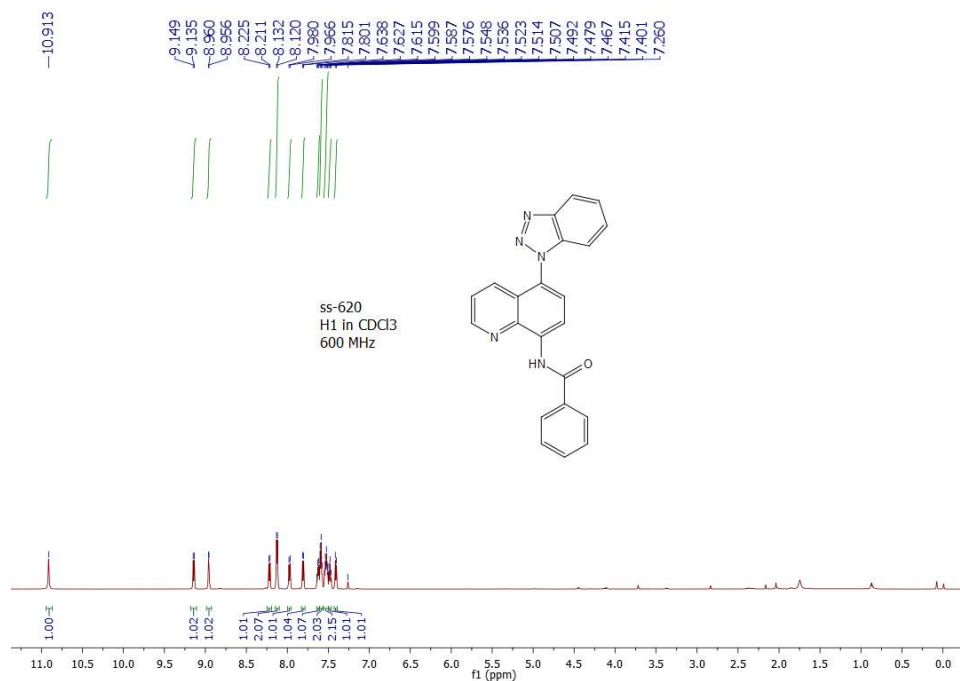
¹³C NMR of 4b



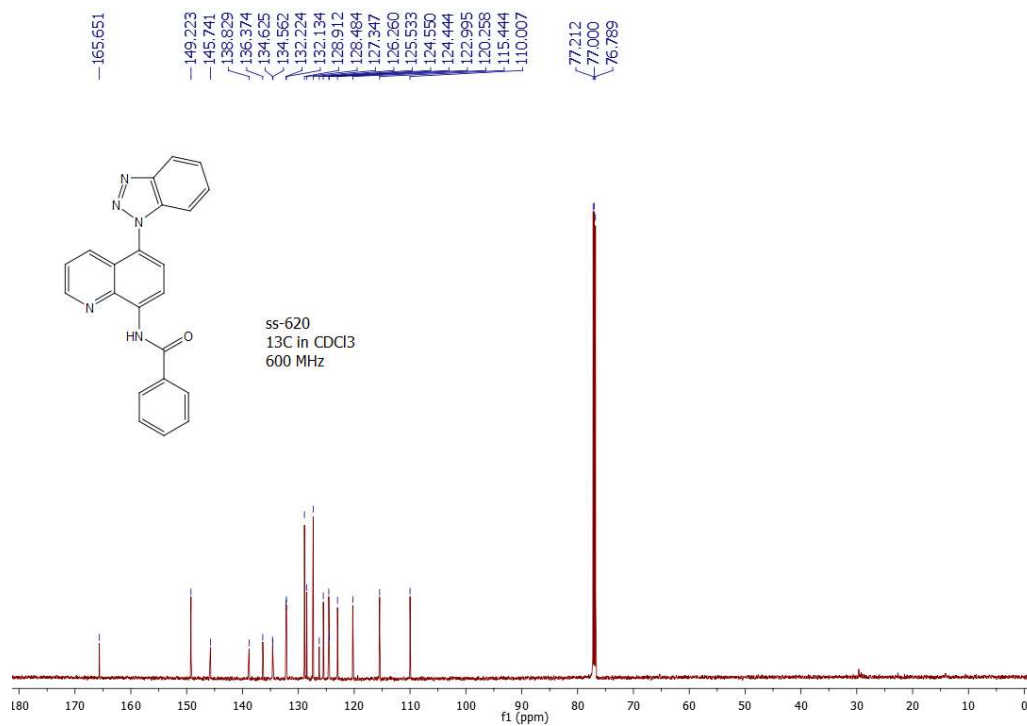
¹H NMR of 4c



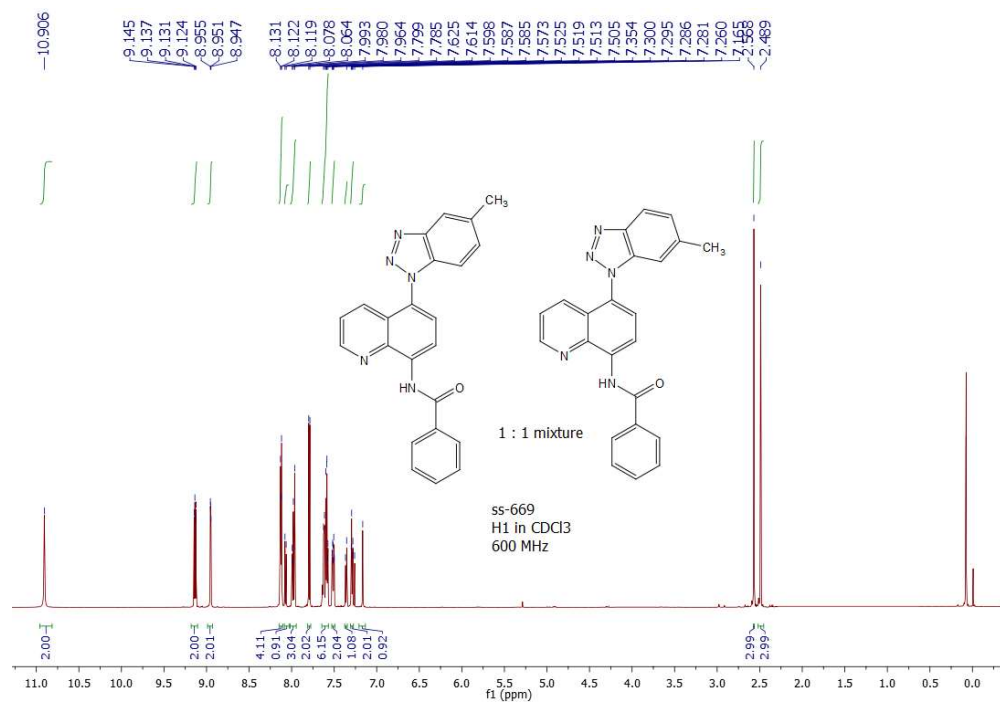
¹³C NMR of 4c



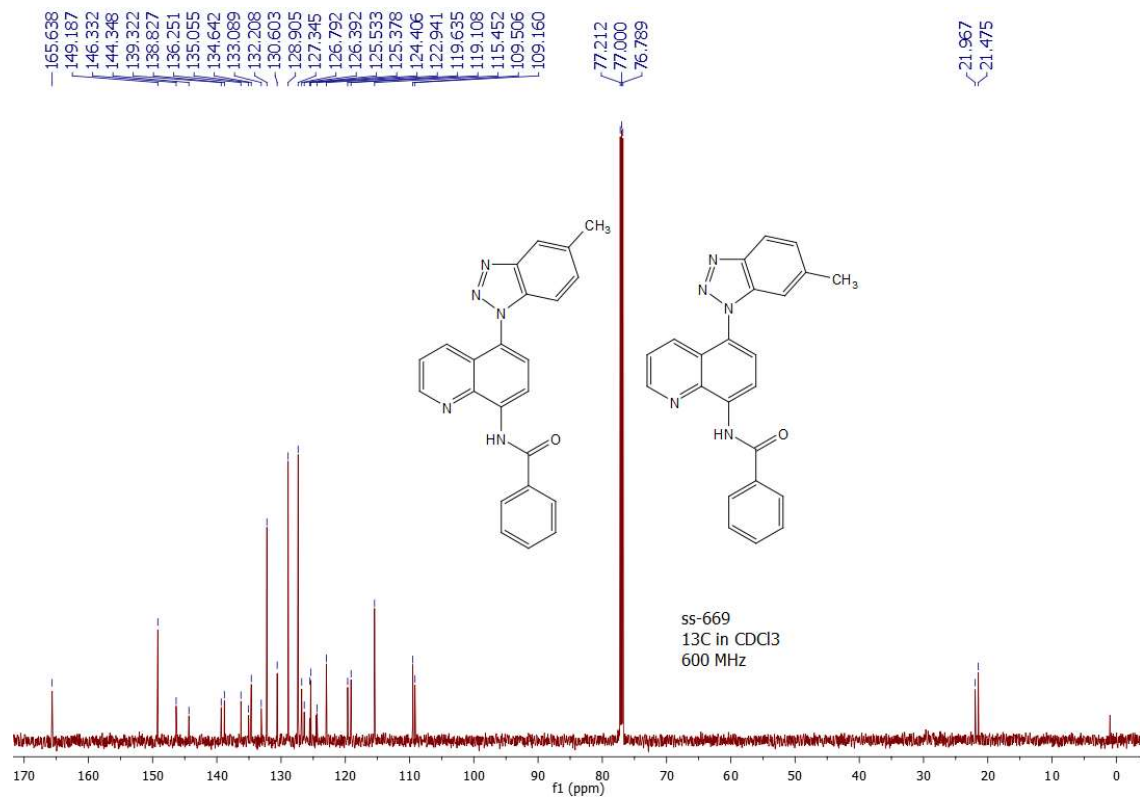
¹H NMR of **4d**



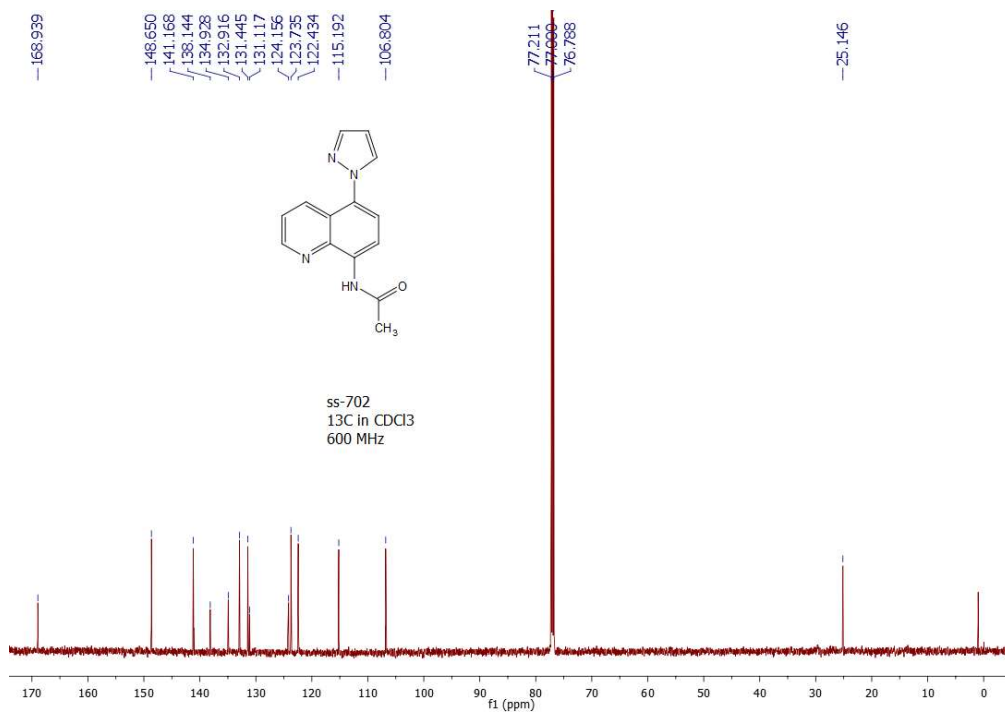
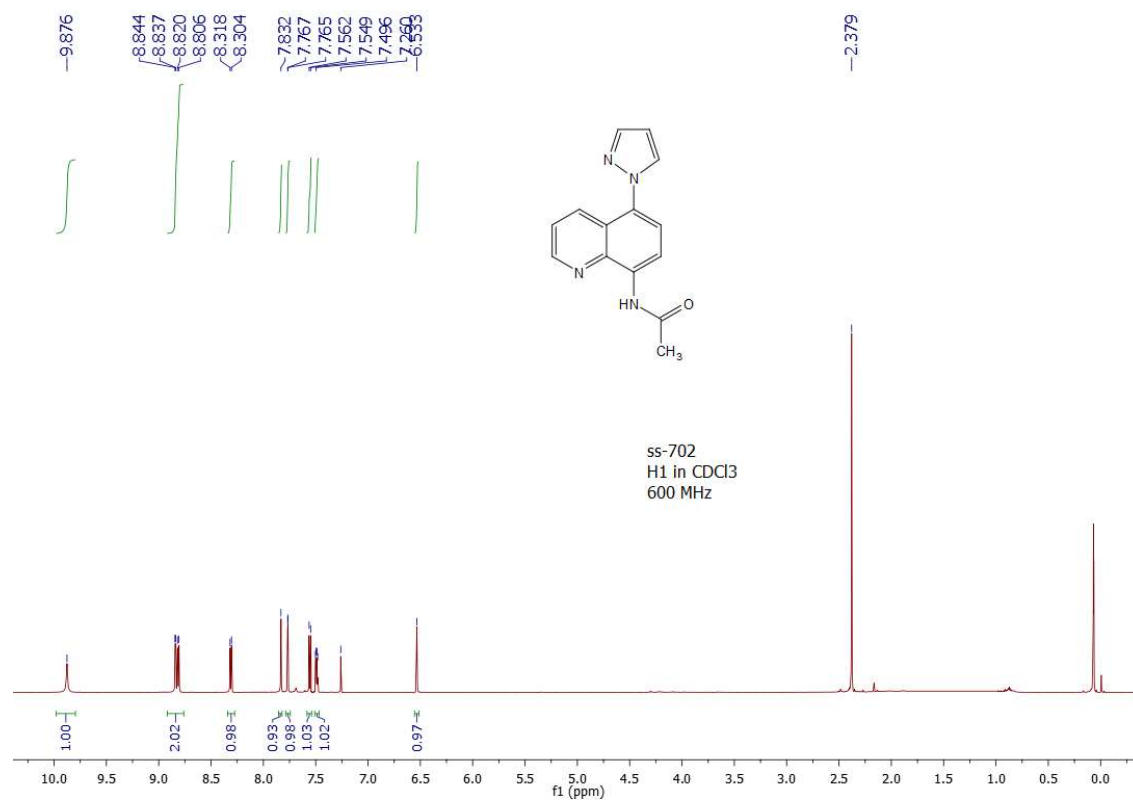
¹³C NMR of **4d**

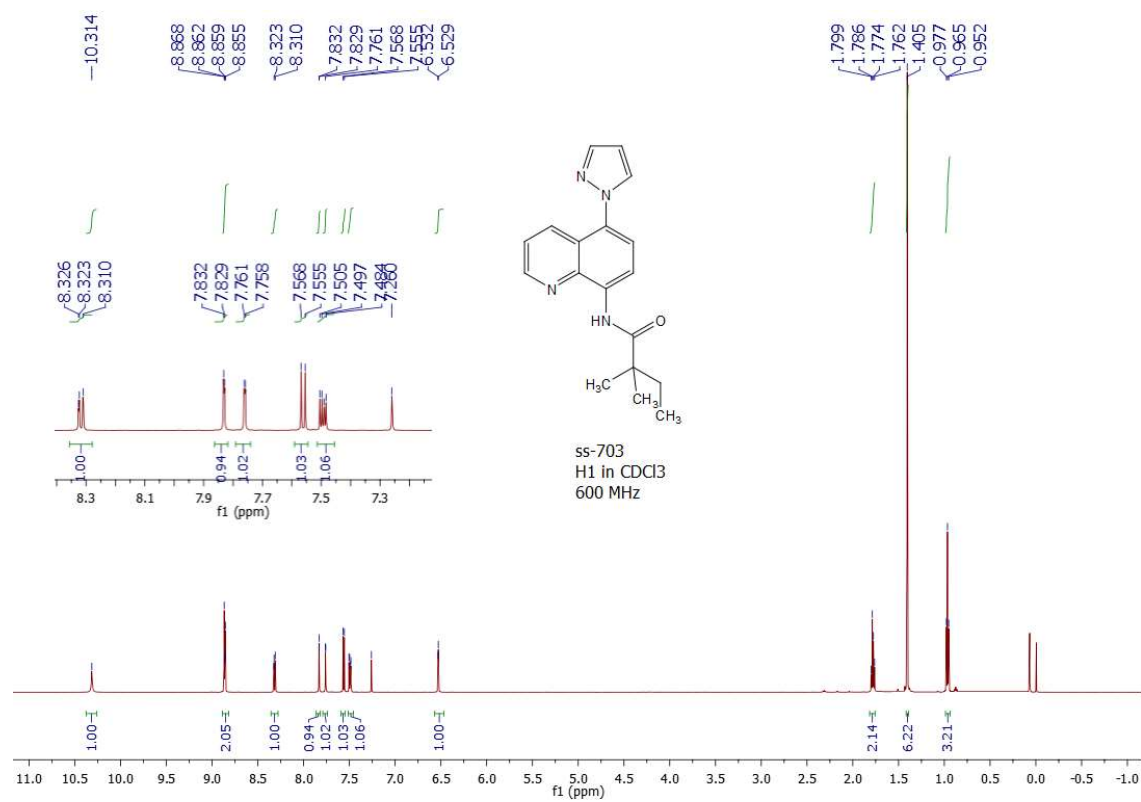


¹H NMR of **4e**

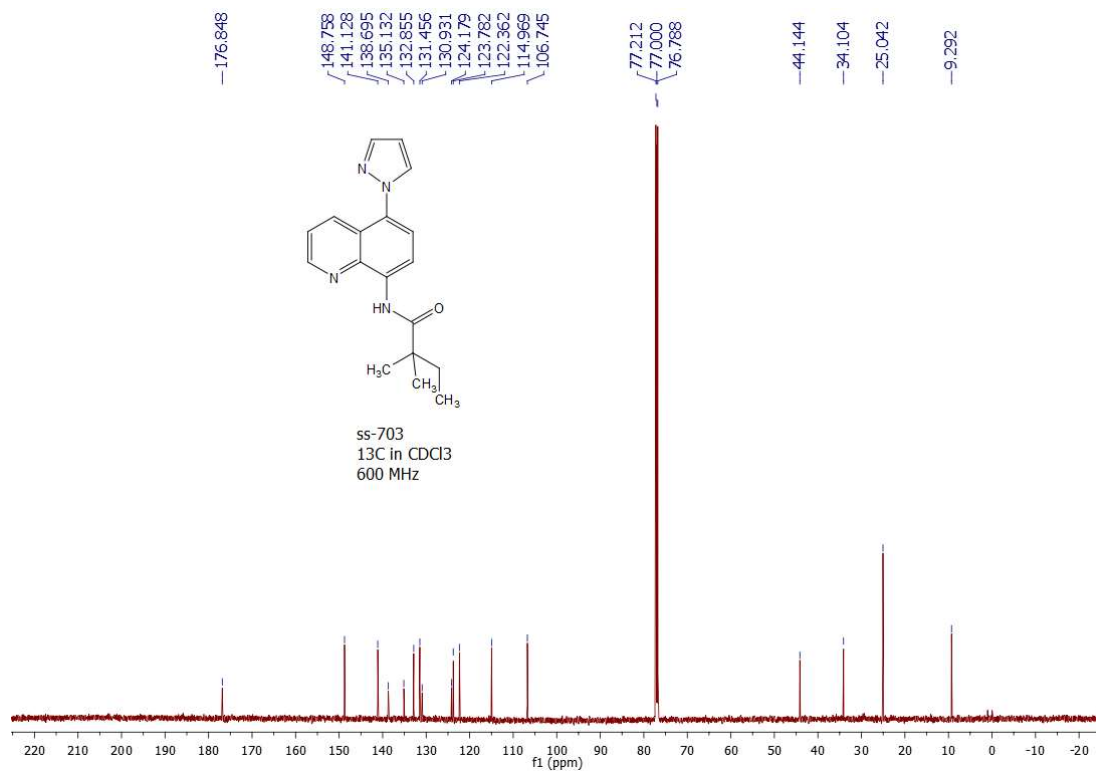


¹³C NMR of **4e**

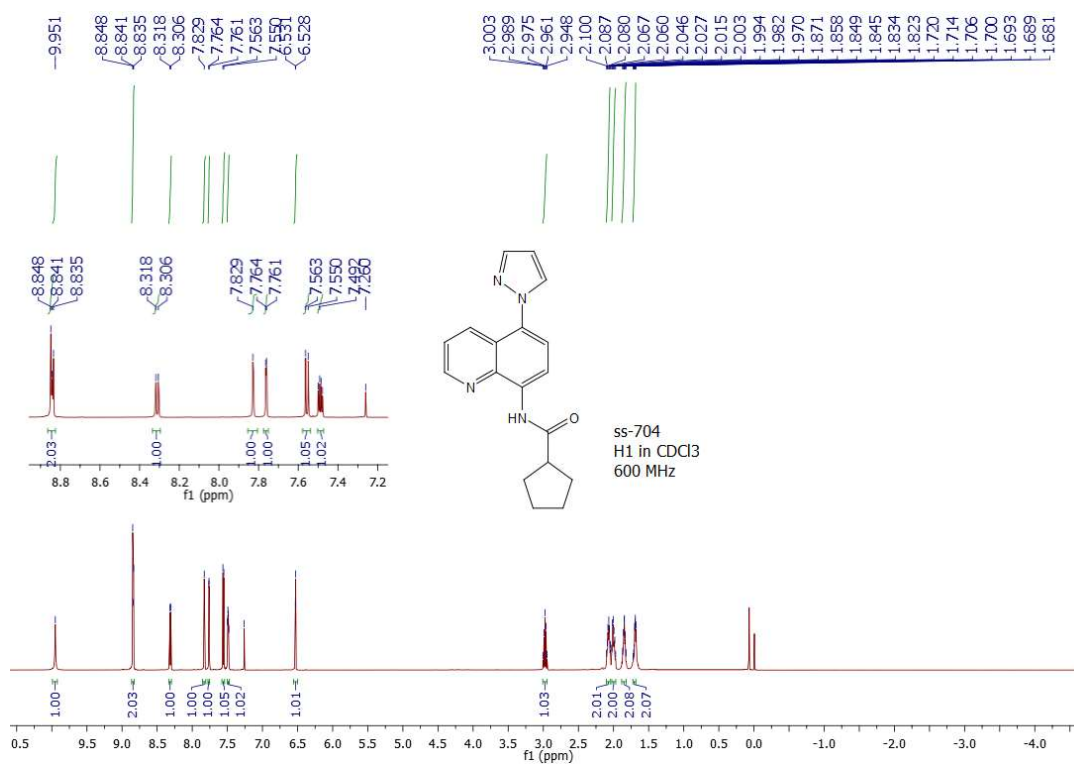




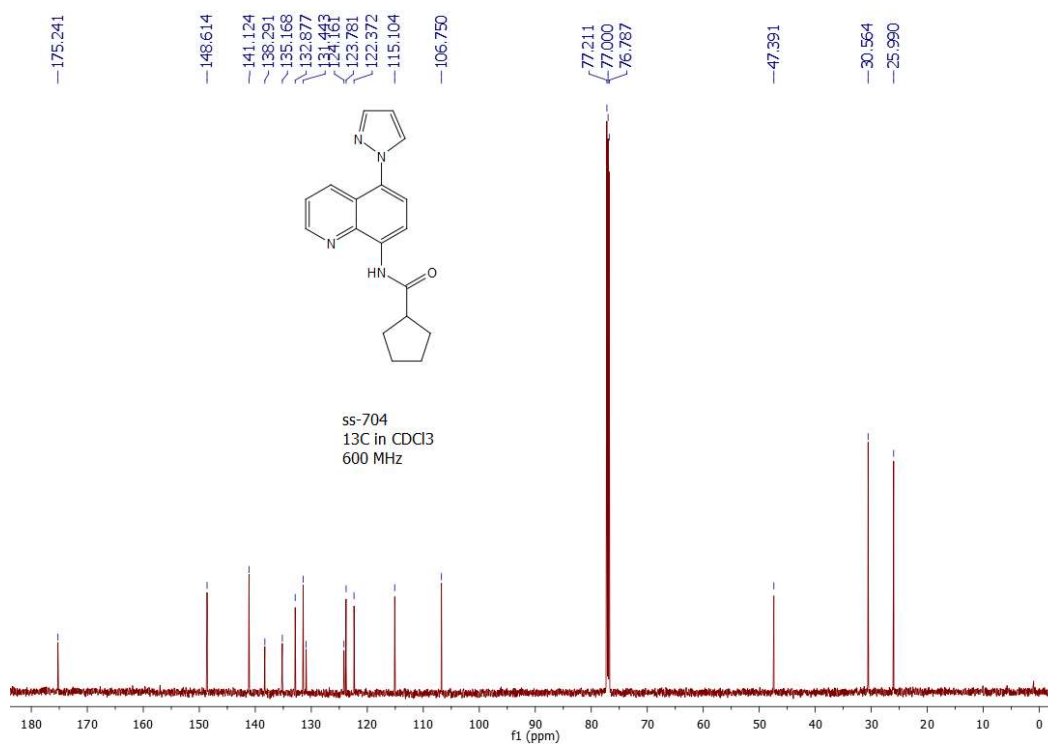
¹H NMR of **4g**



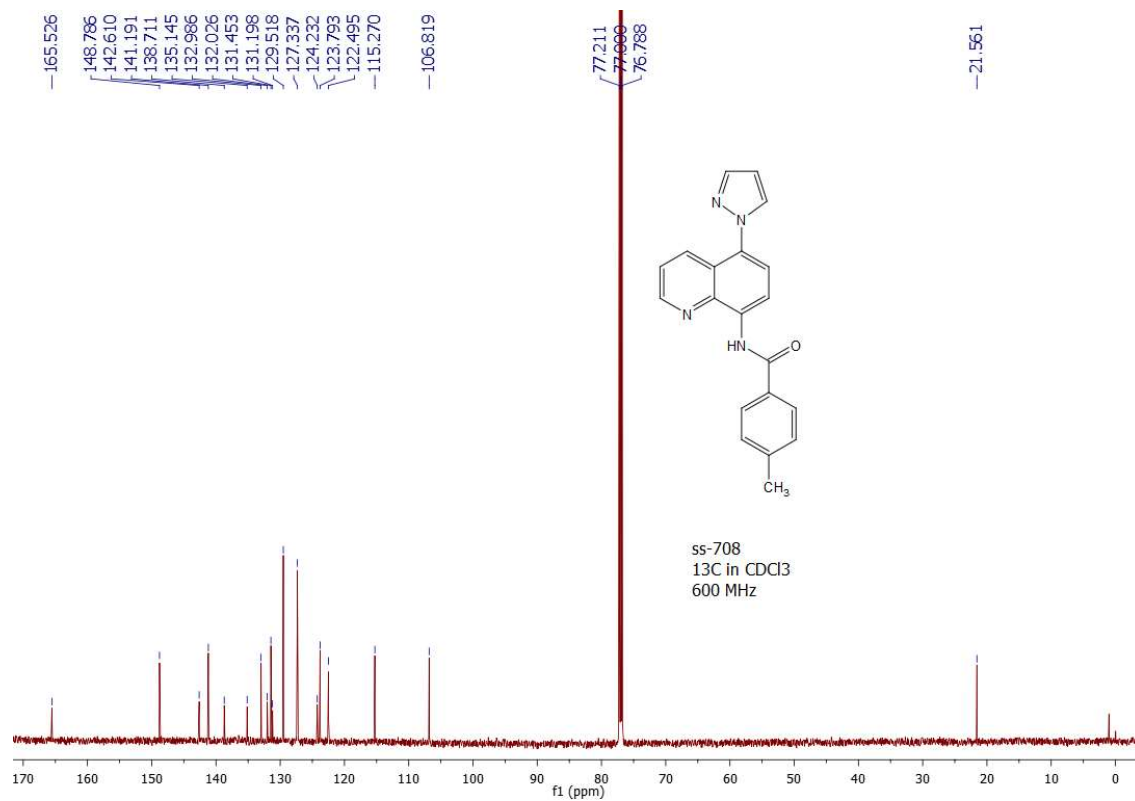
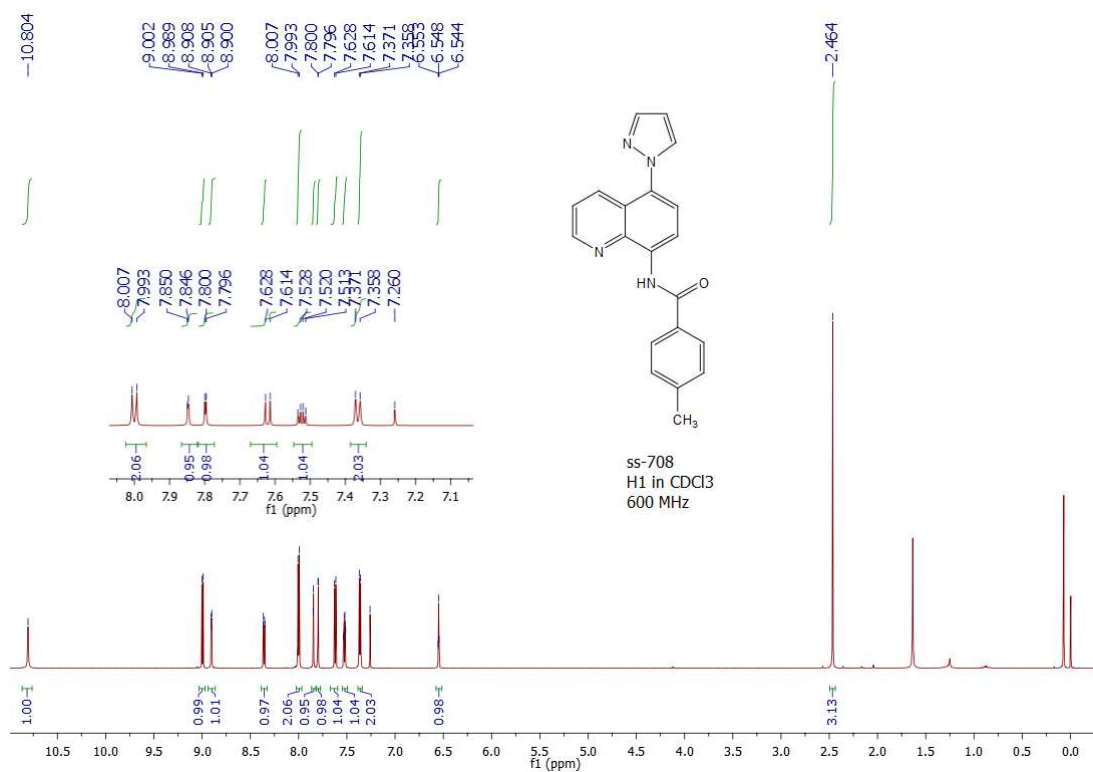
¹³C NMR of **4g**

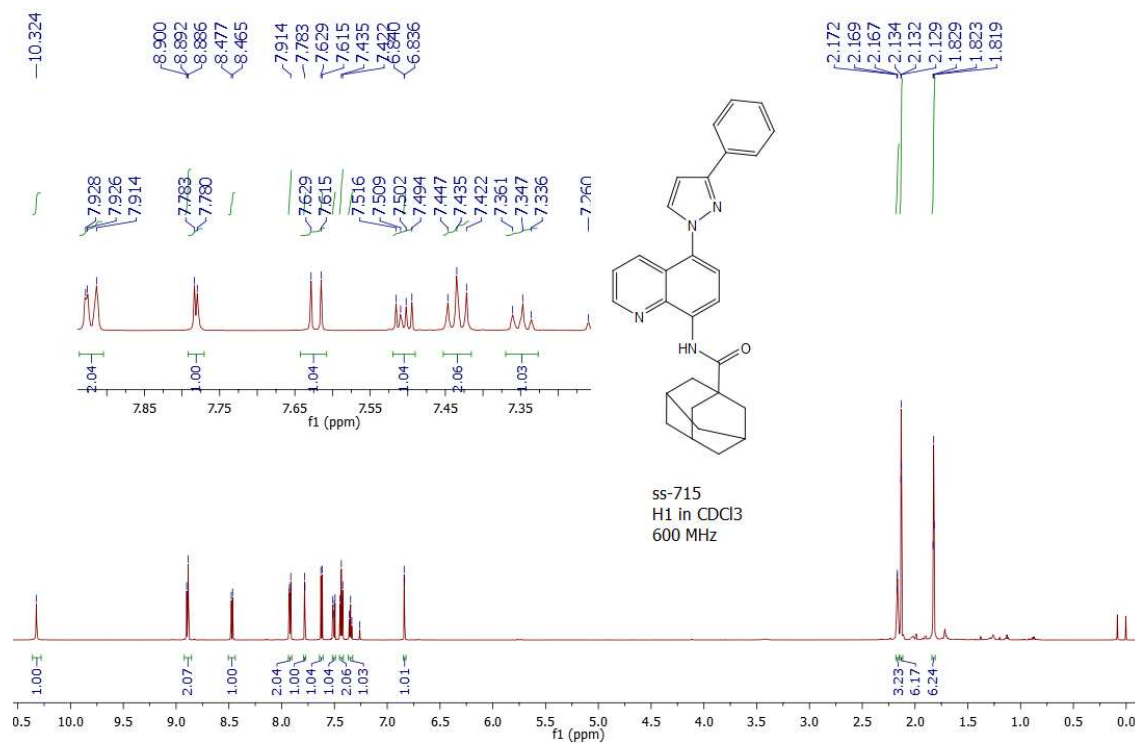


¹H NMR of 4h

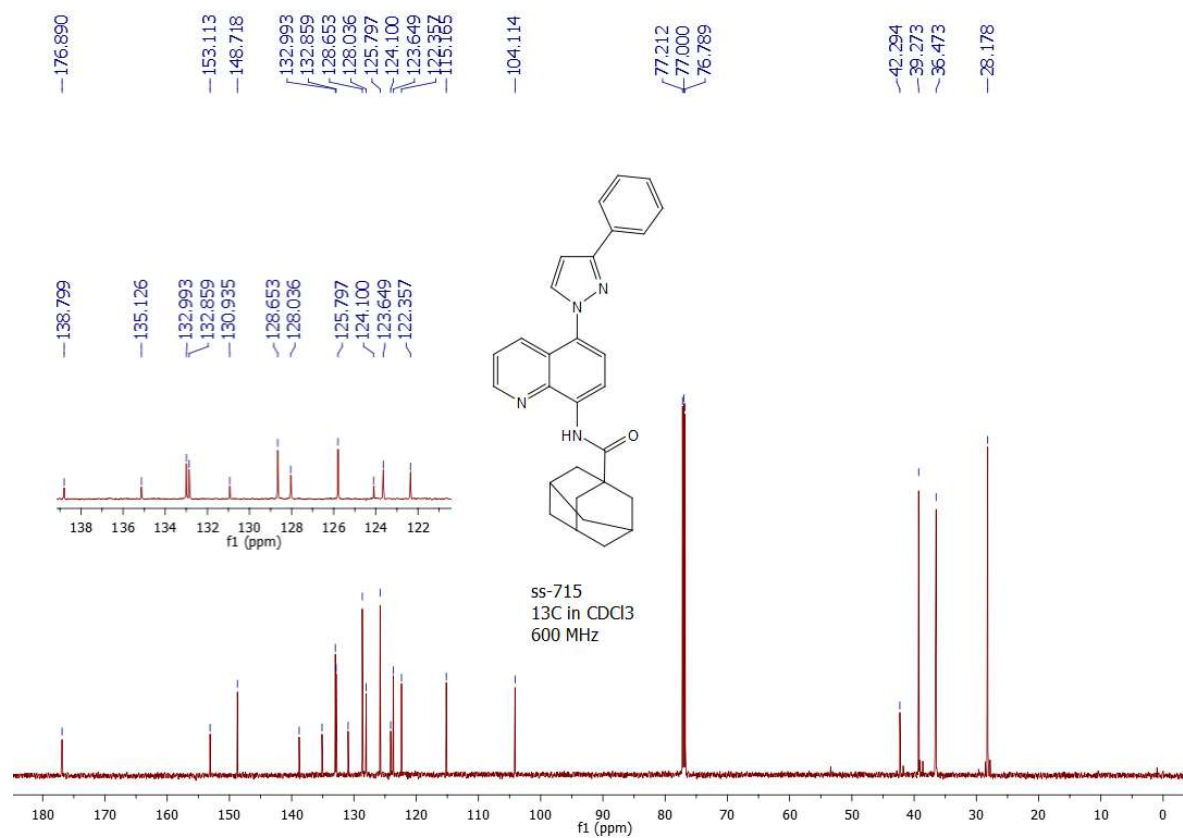


¹³C NMR of 4h

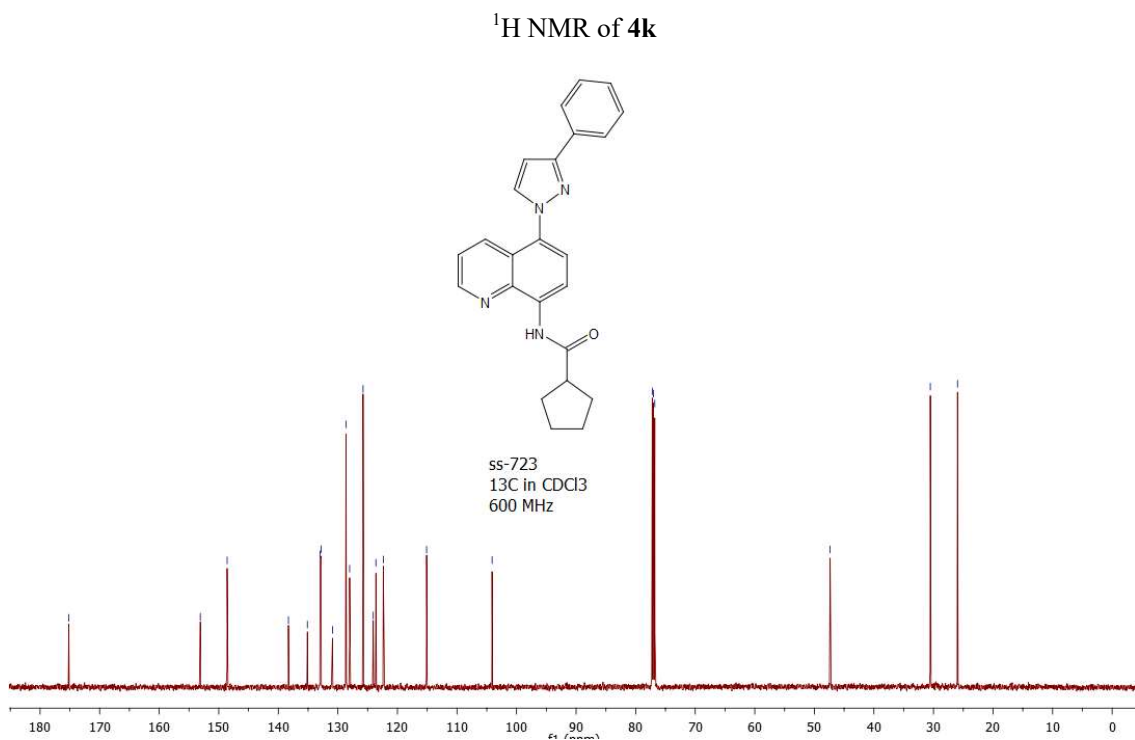
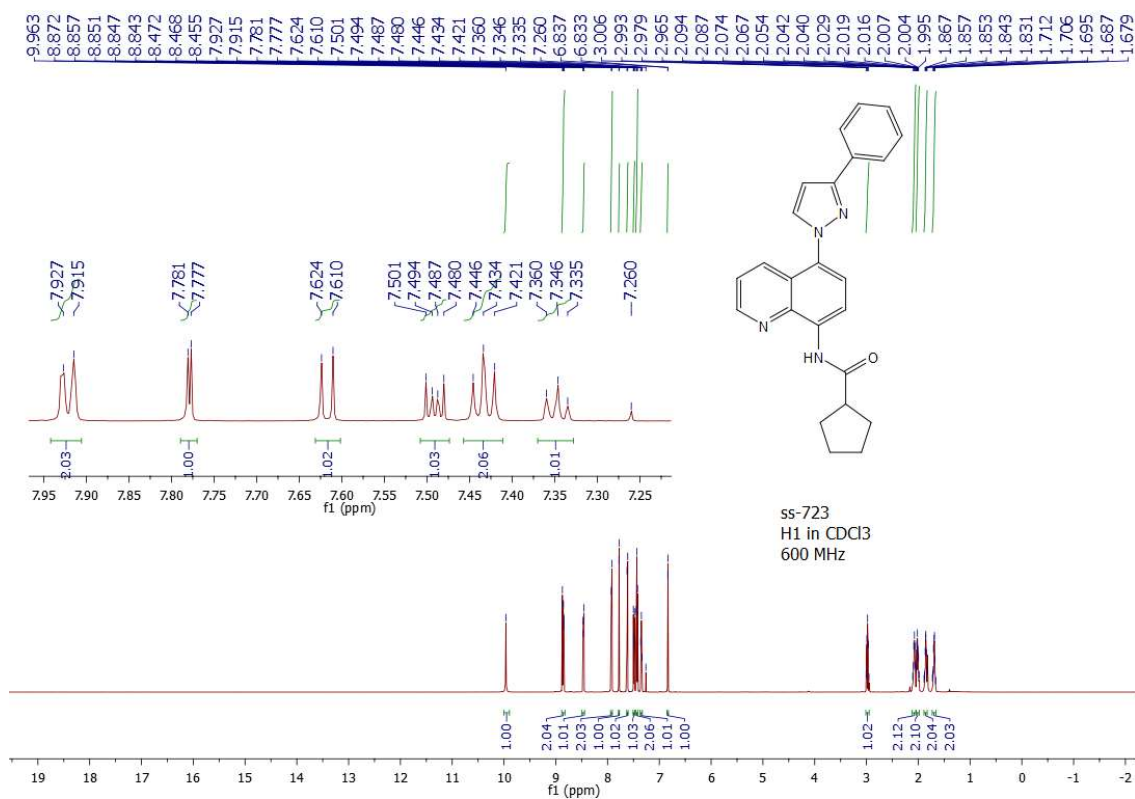


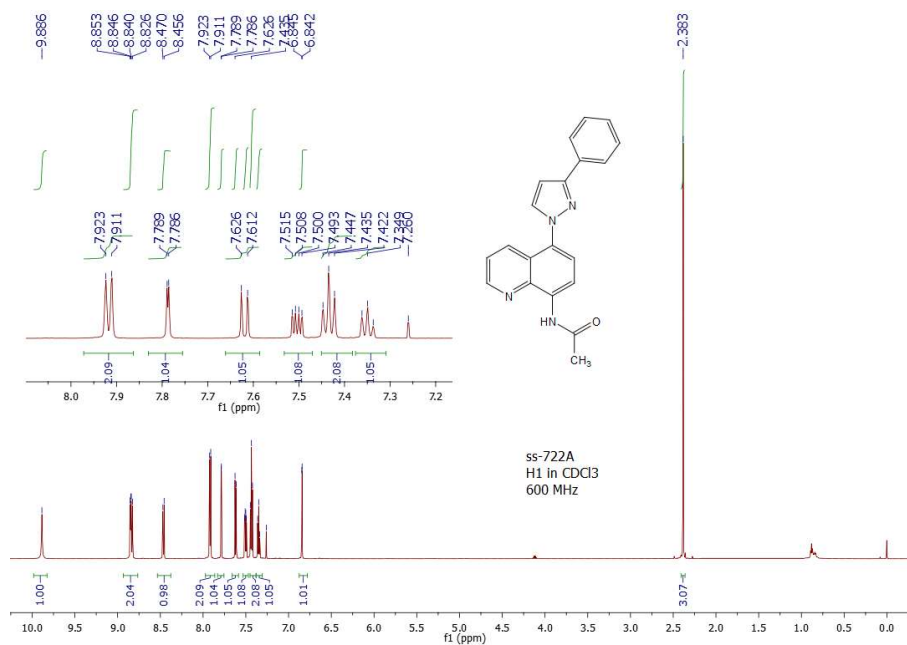


¹H NMR of **4j**

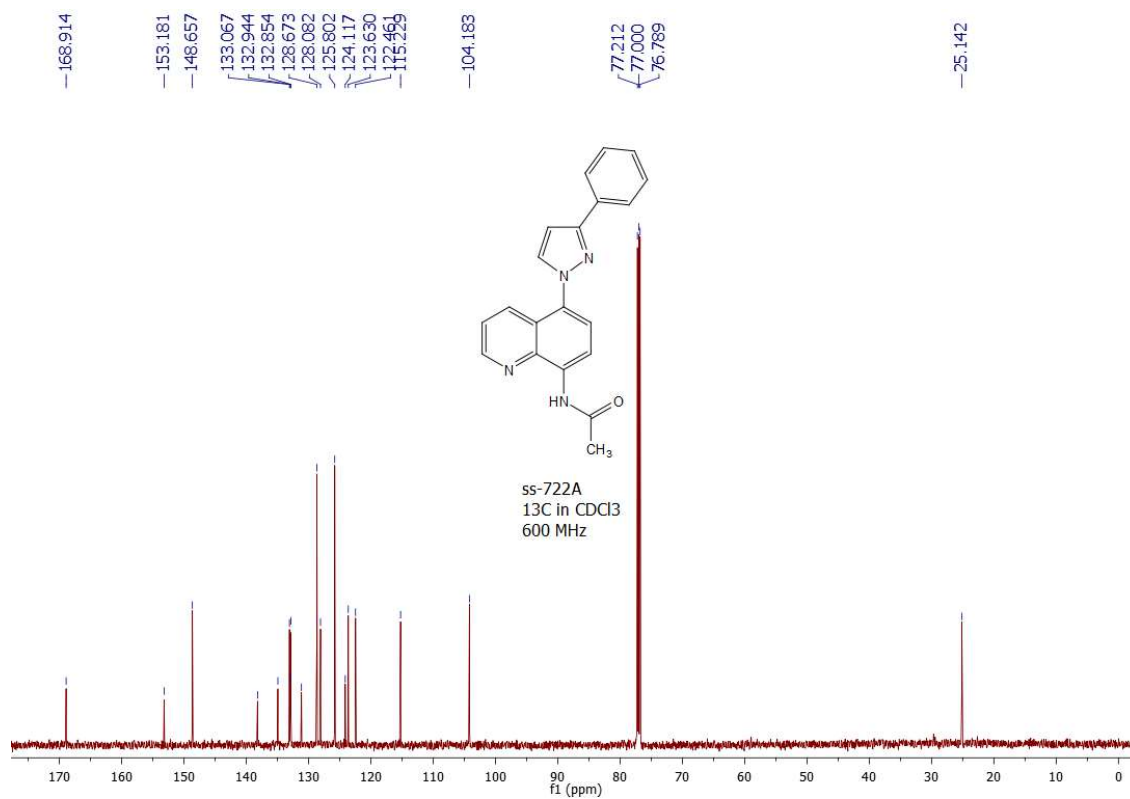


¹³C NMR of **4j**

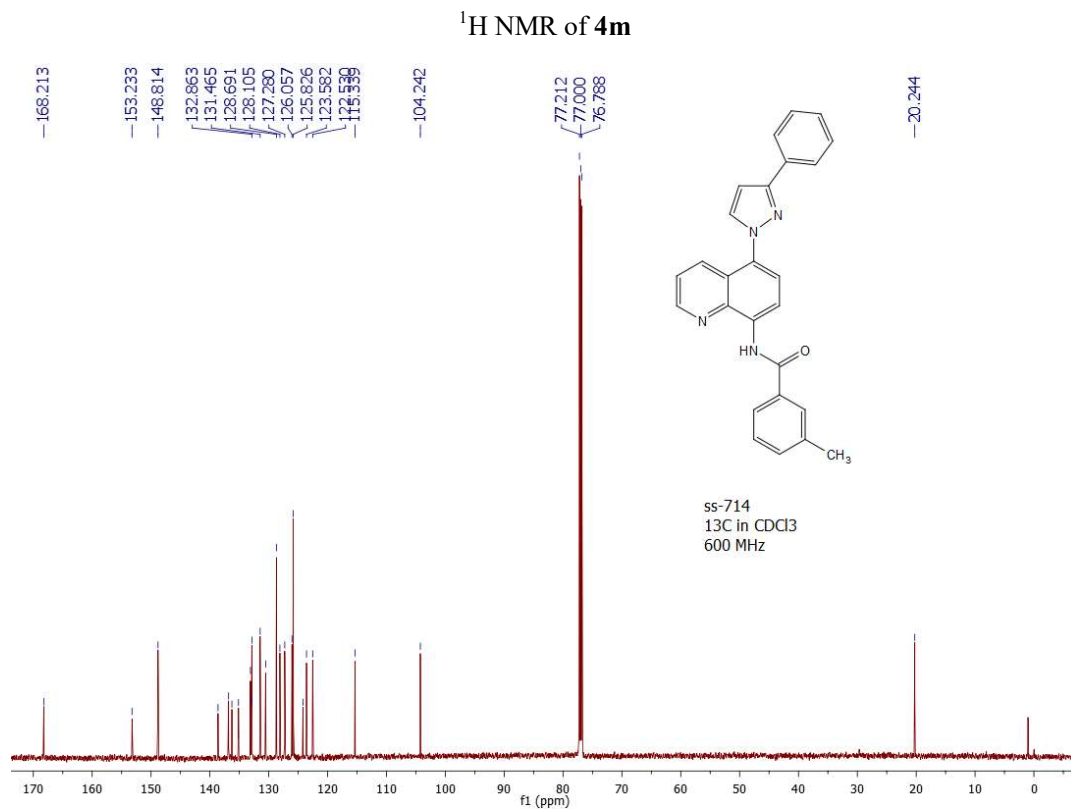
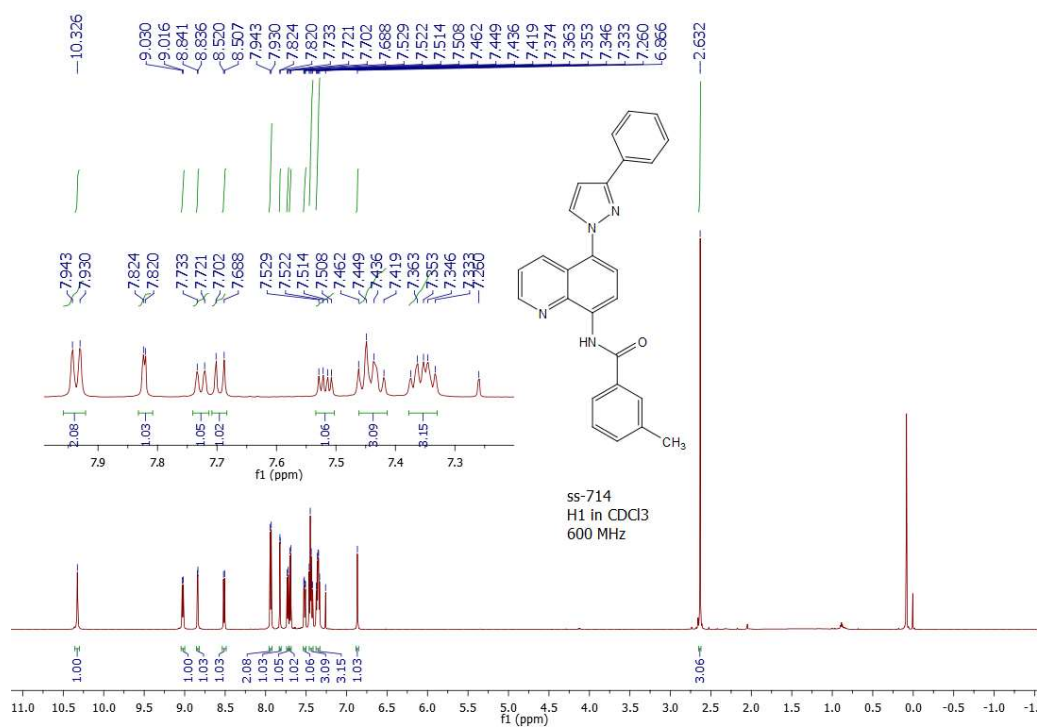


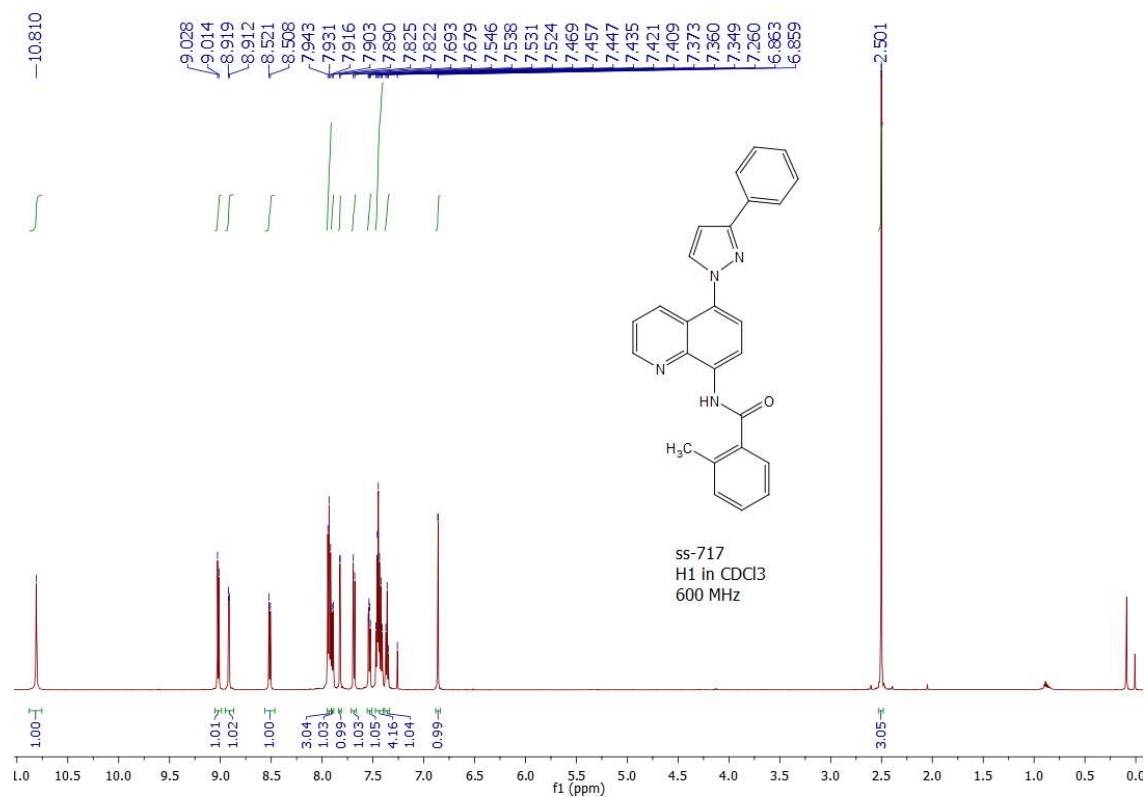


¹H NMR of 41

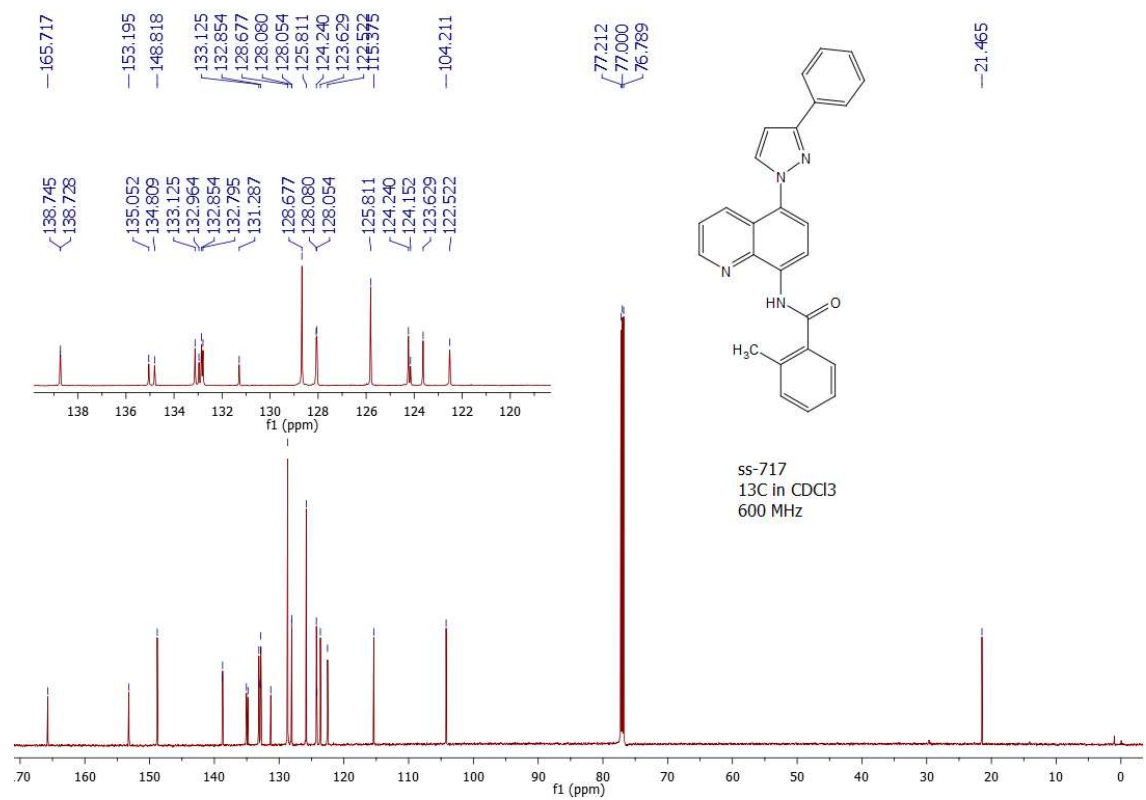


¹³C NMR of 41

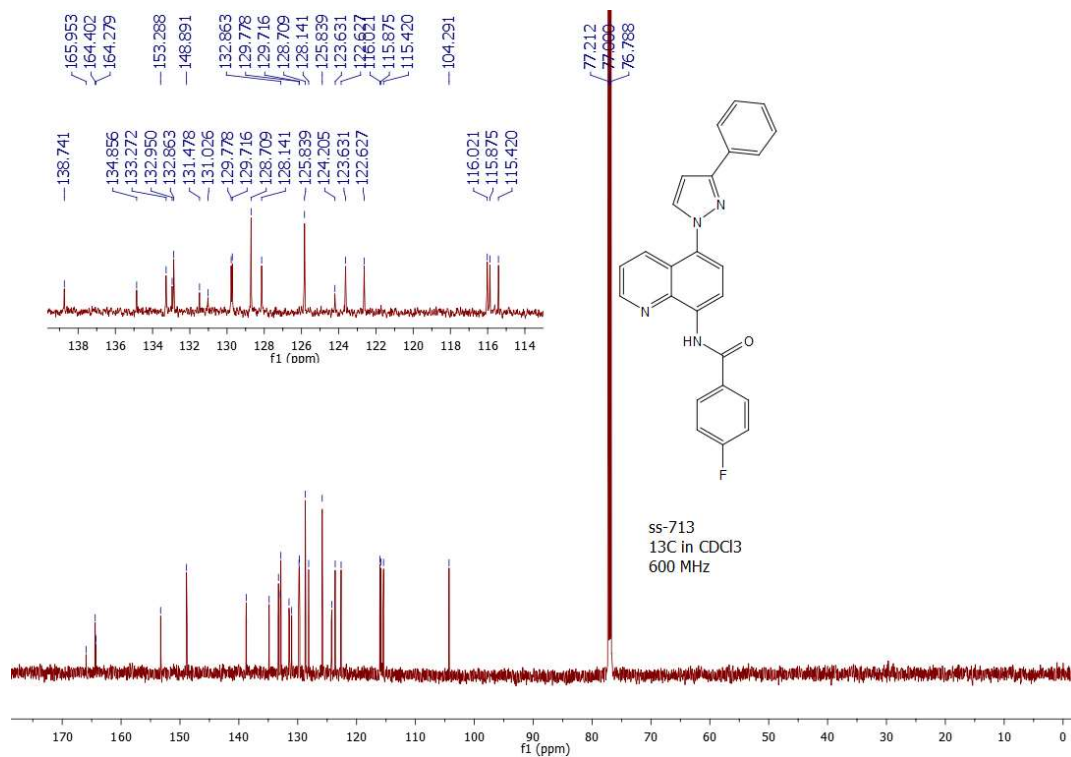
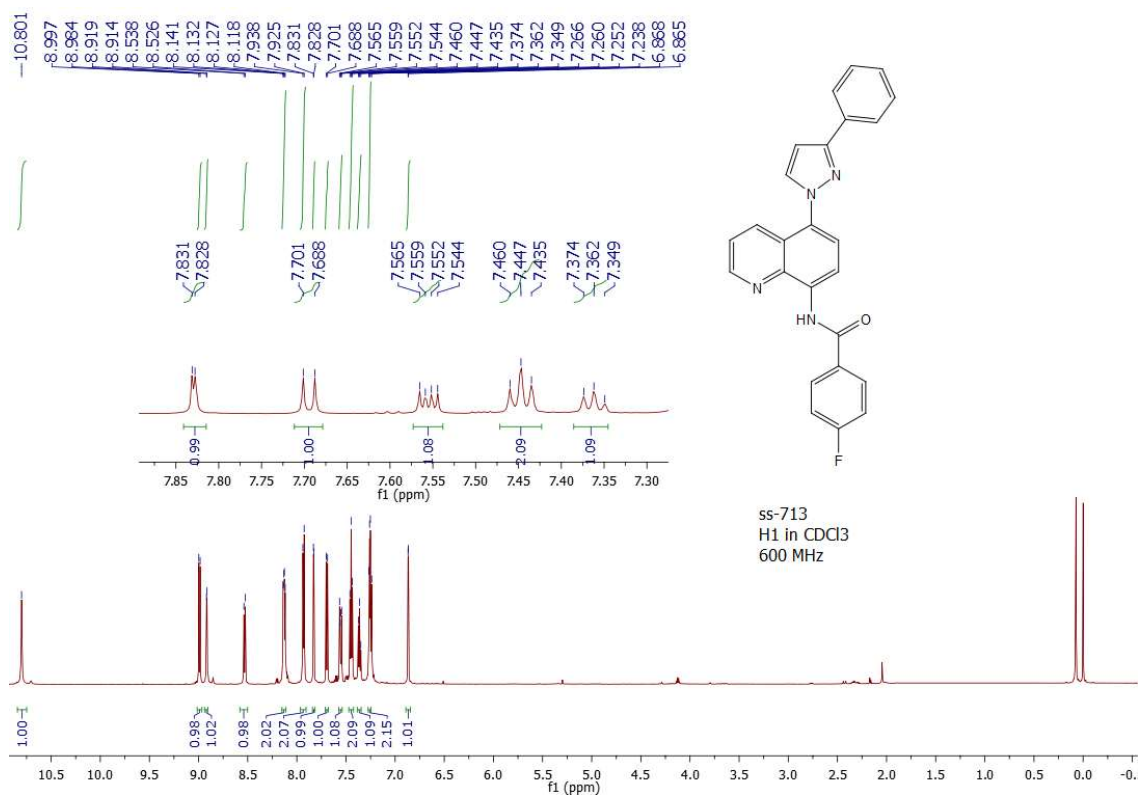


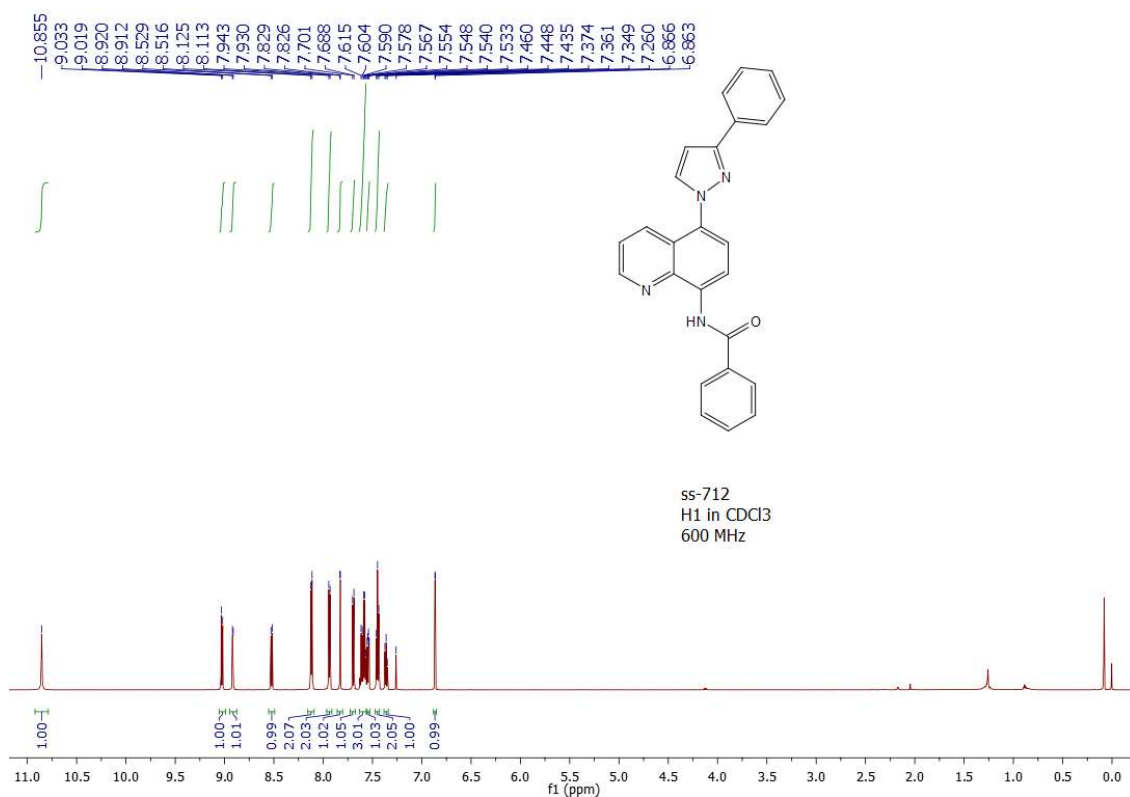


¹H NMR of **4n**

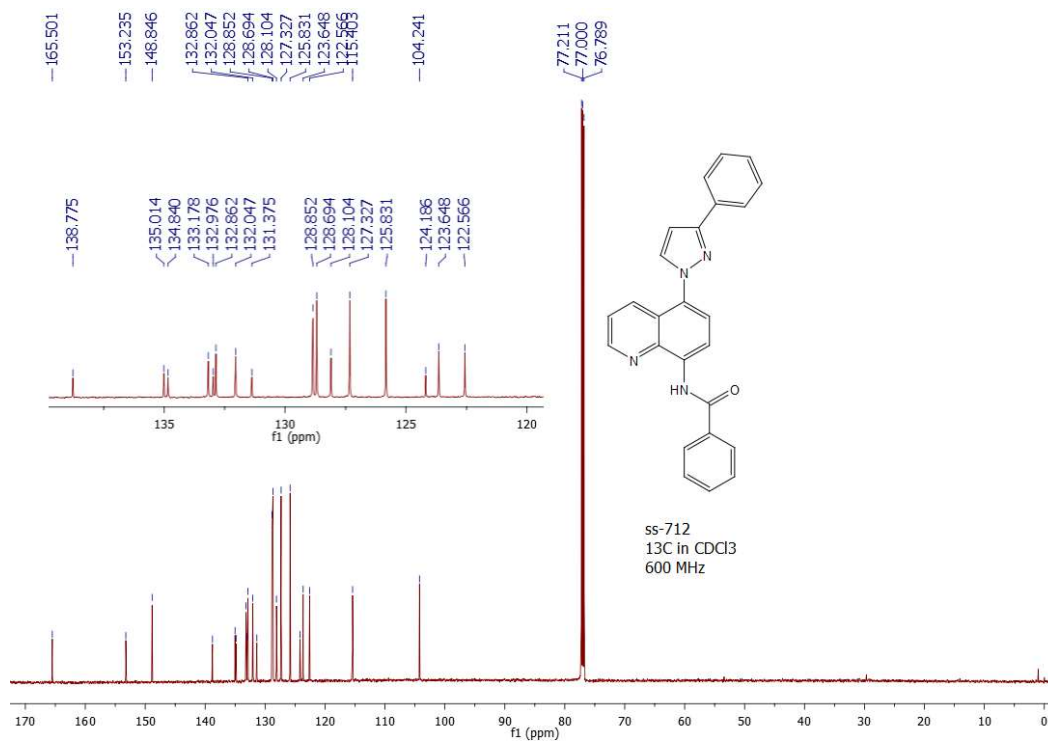


¹³C NMR of **4n**

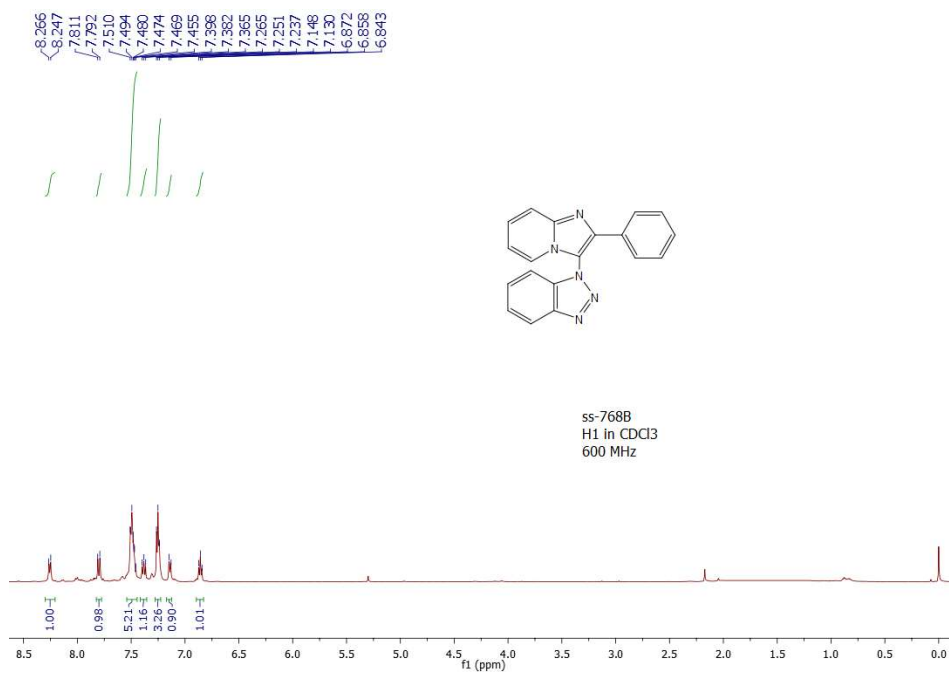




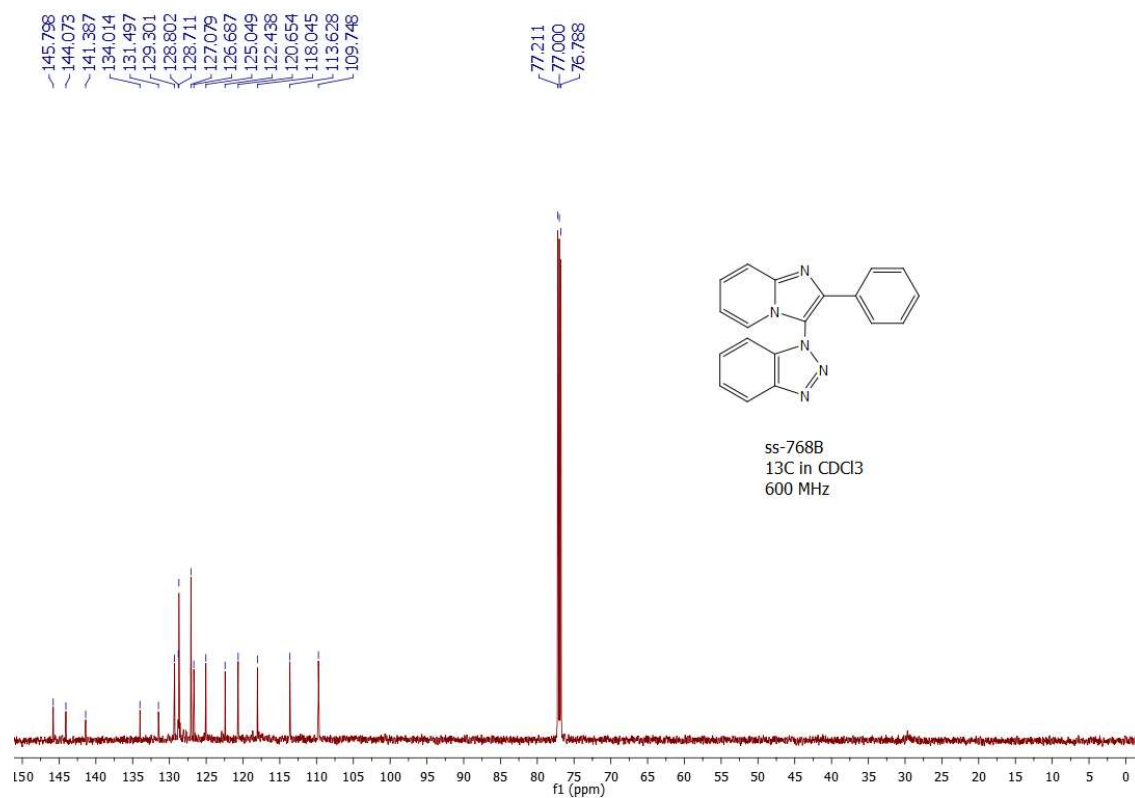
¹H NMR of 4p



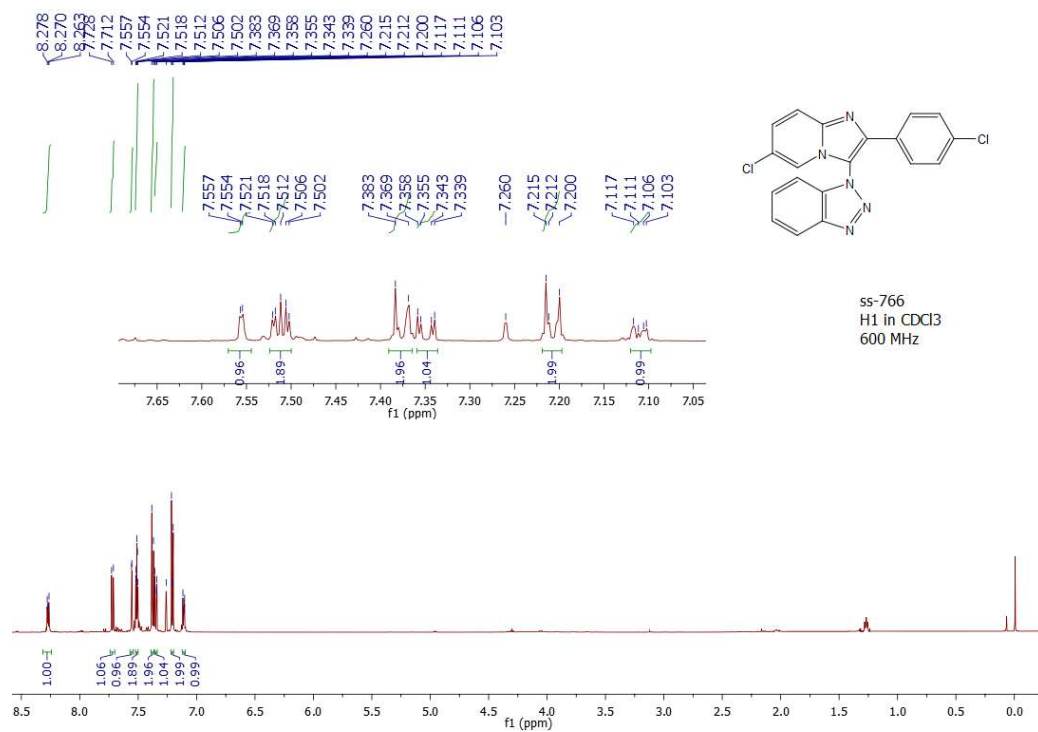
¹³C NMR of 4p



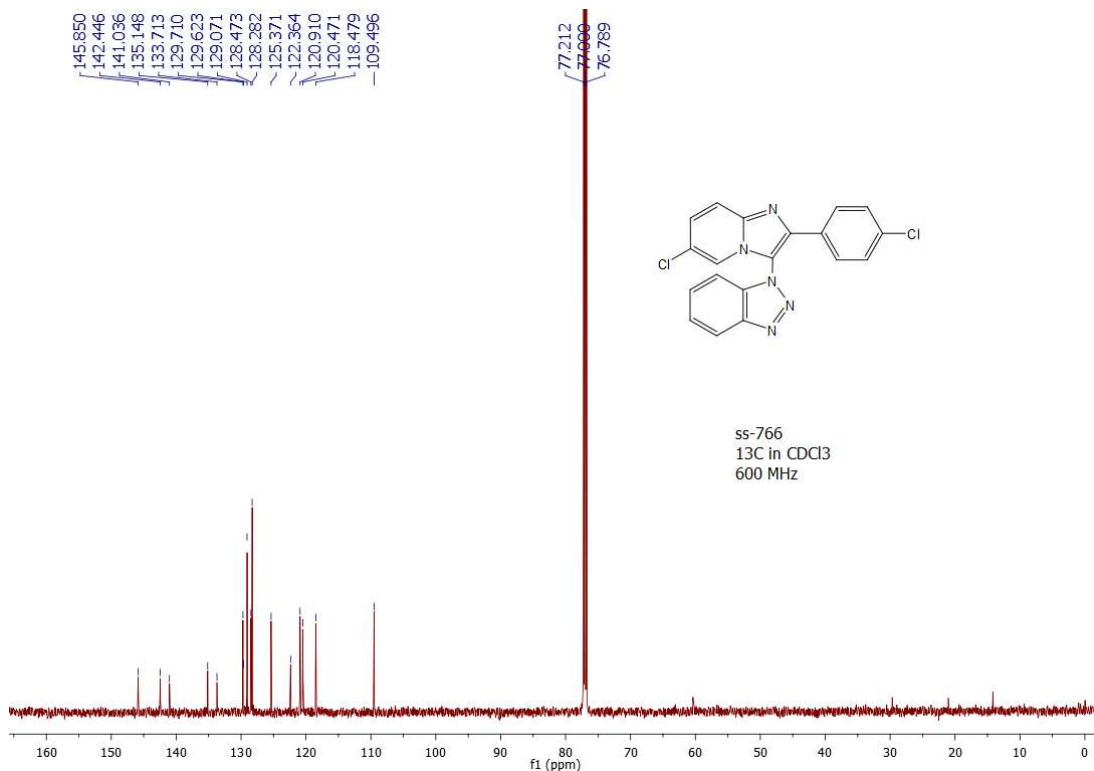
¹H NMR of **6a**



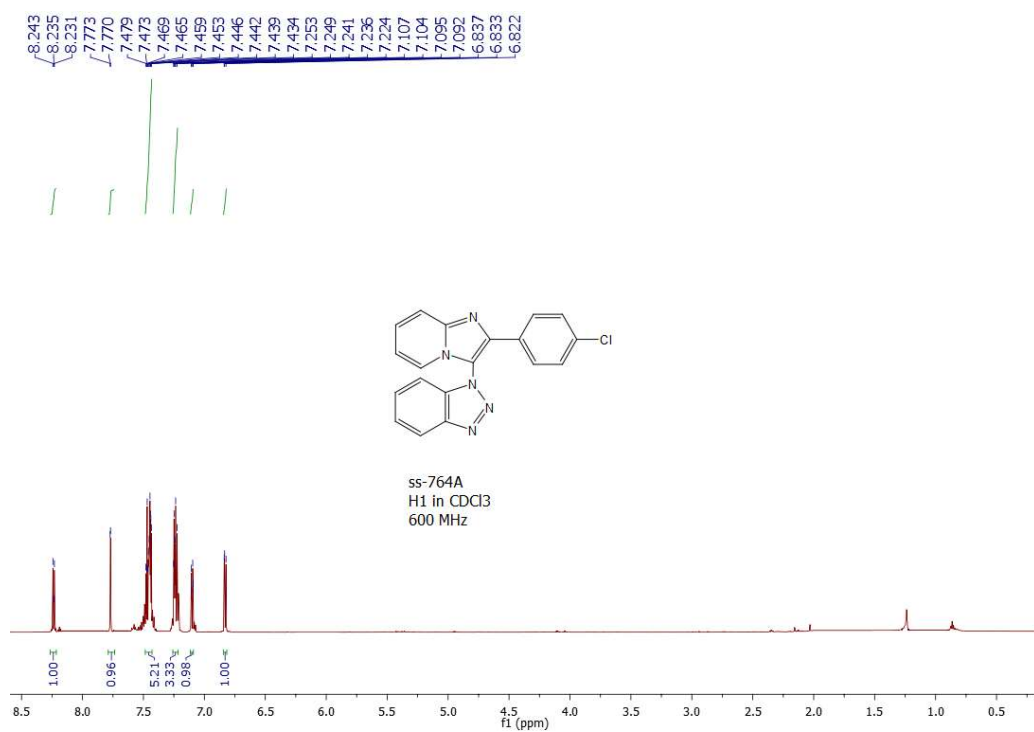
¹³C NMR of **6a**



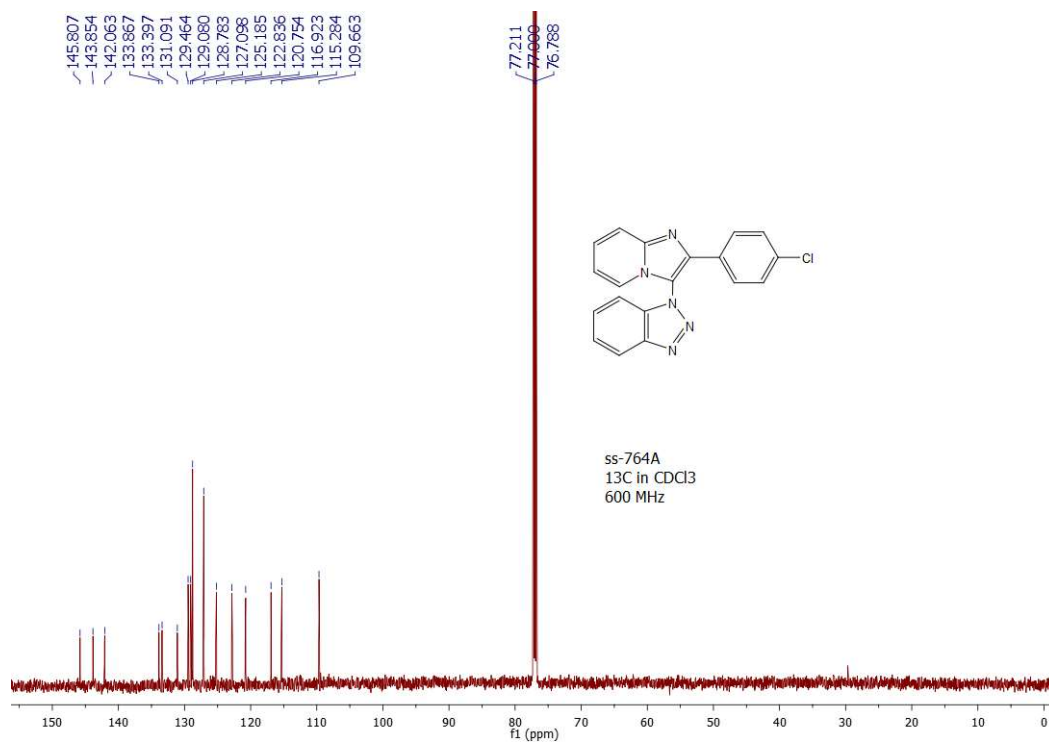
¹H NMR of **6b**



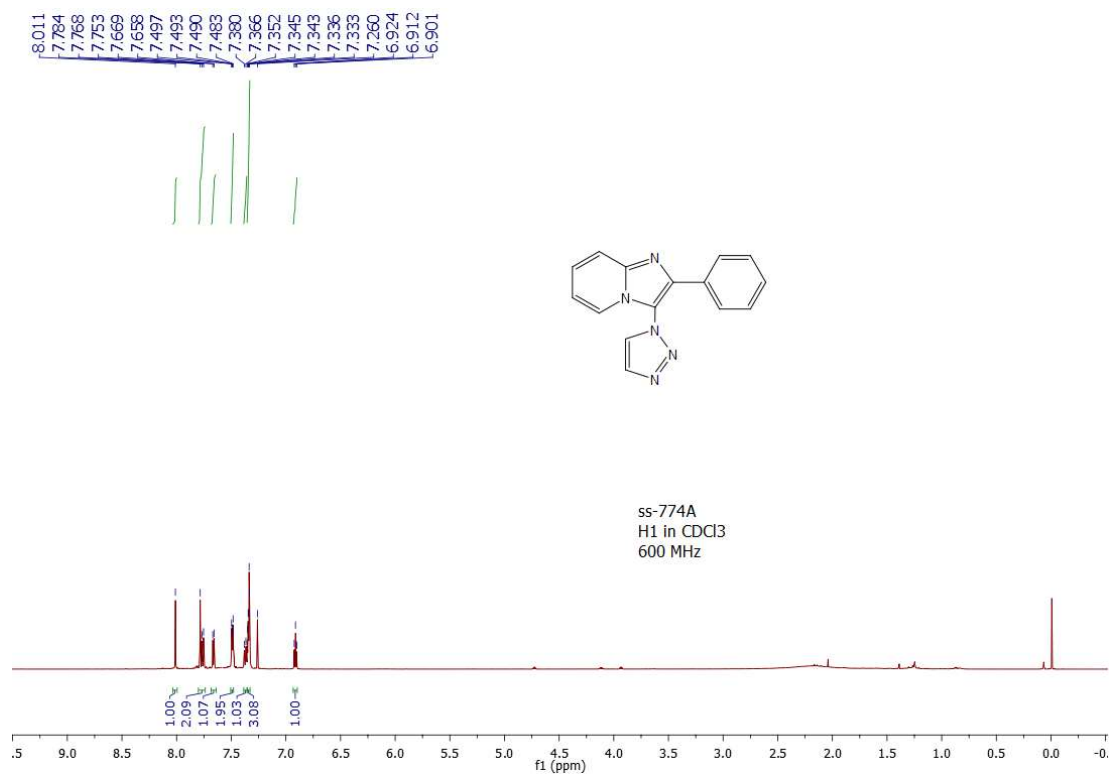
¹³C NMR of **6b**



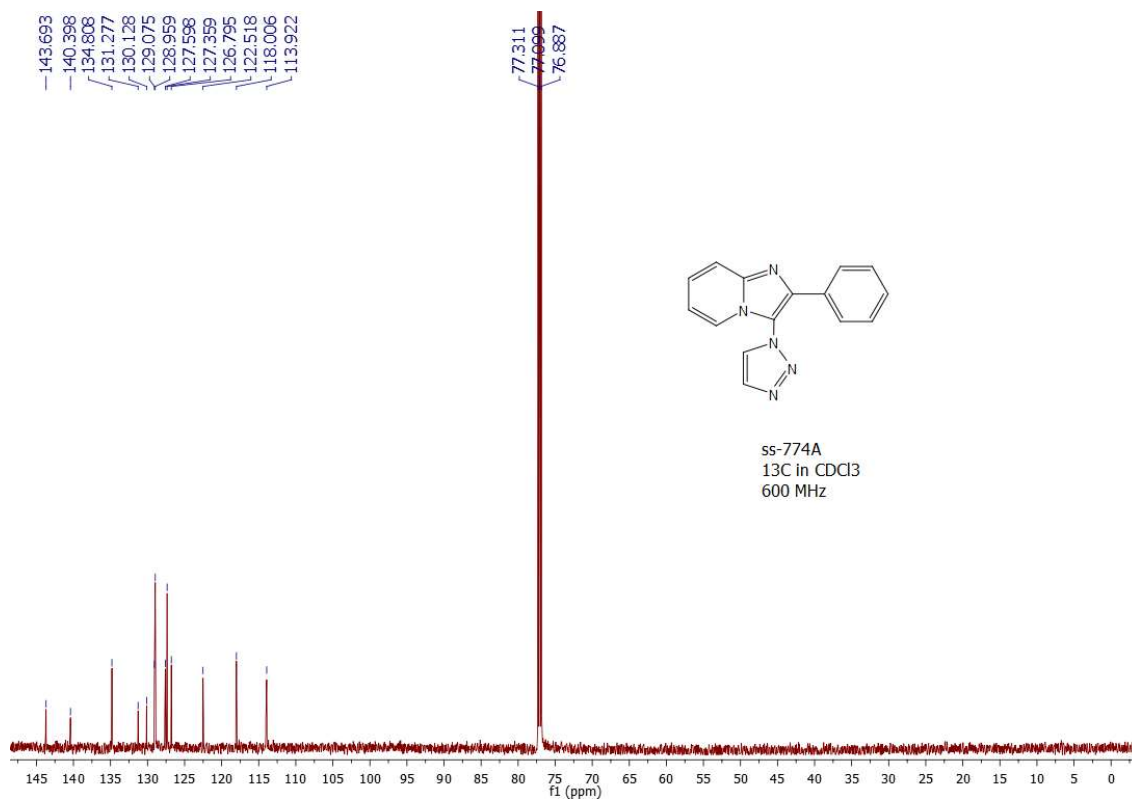
¹H NMR of 6c



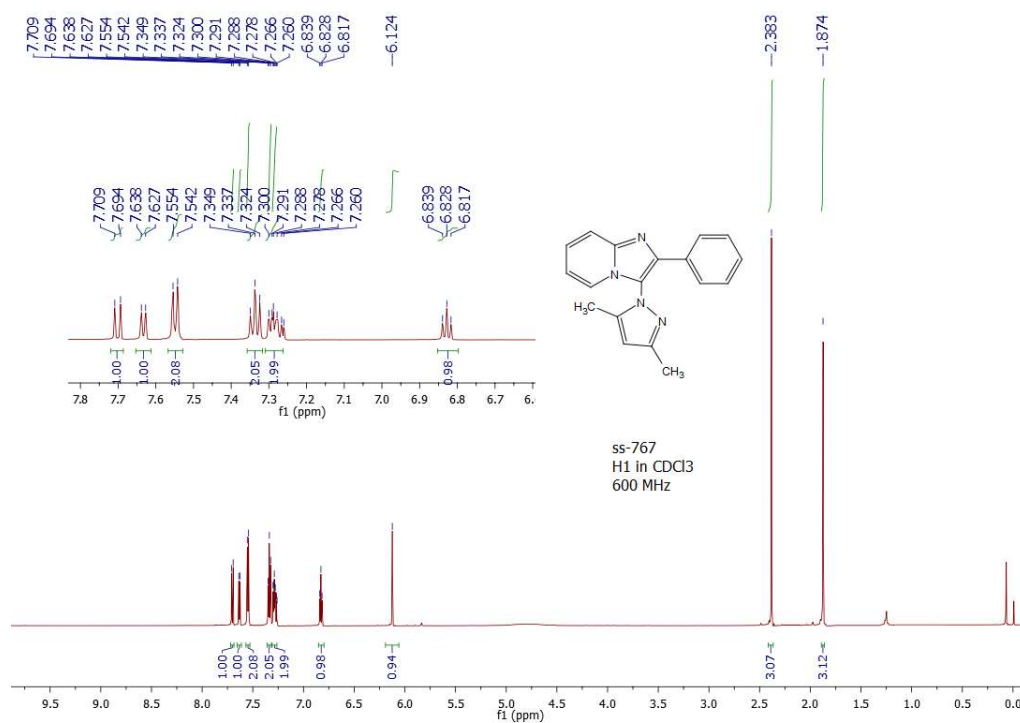
¹³C NMR of 6c



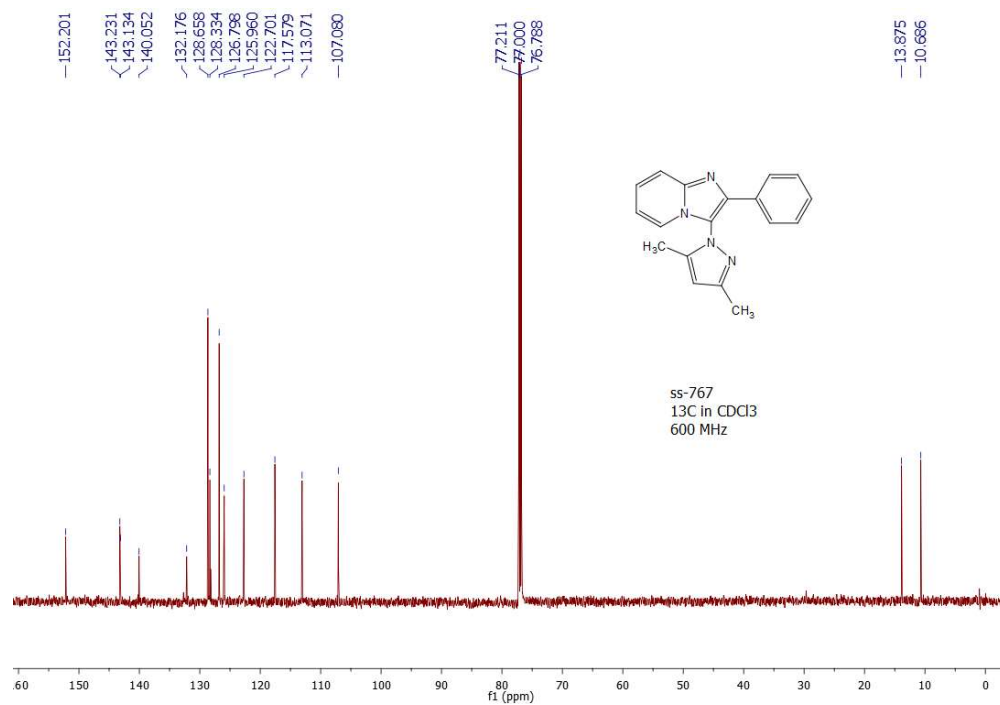
¹H NMR of 6d



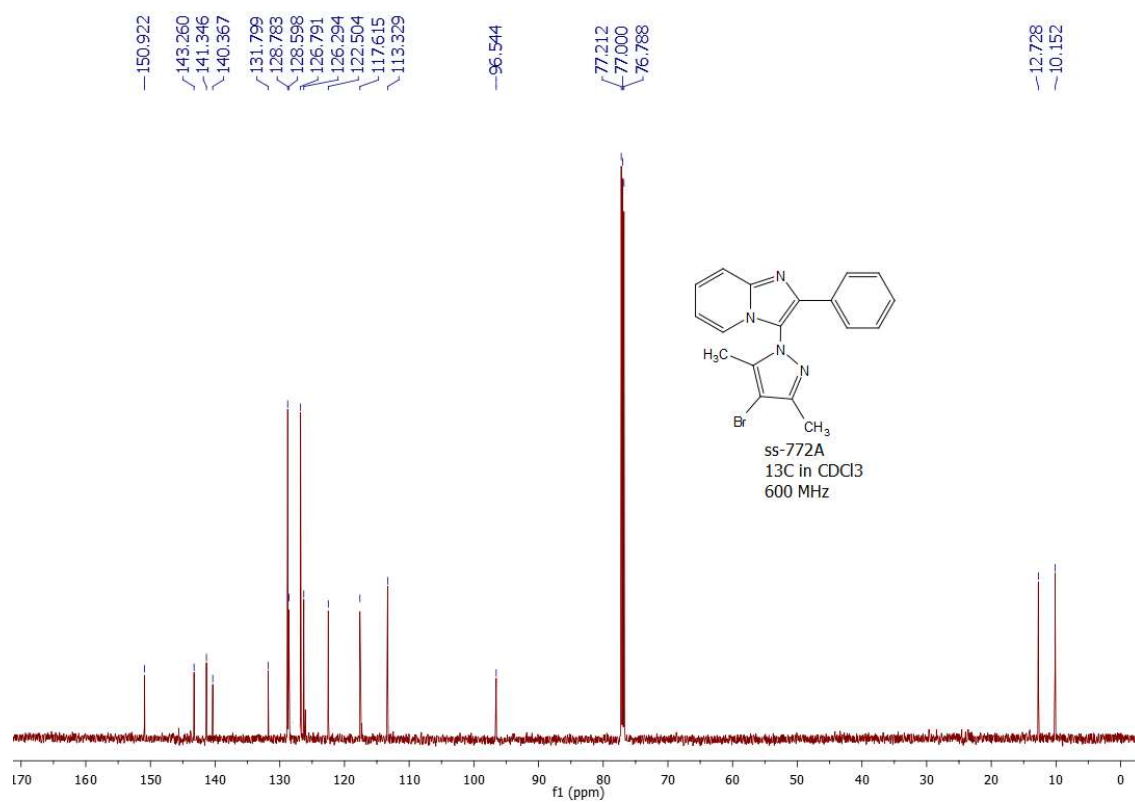
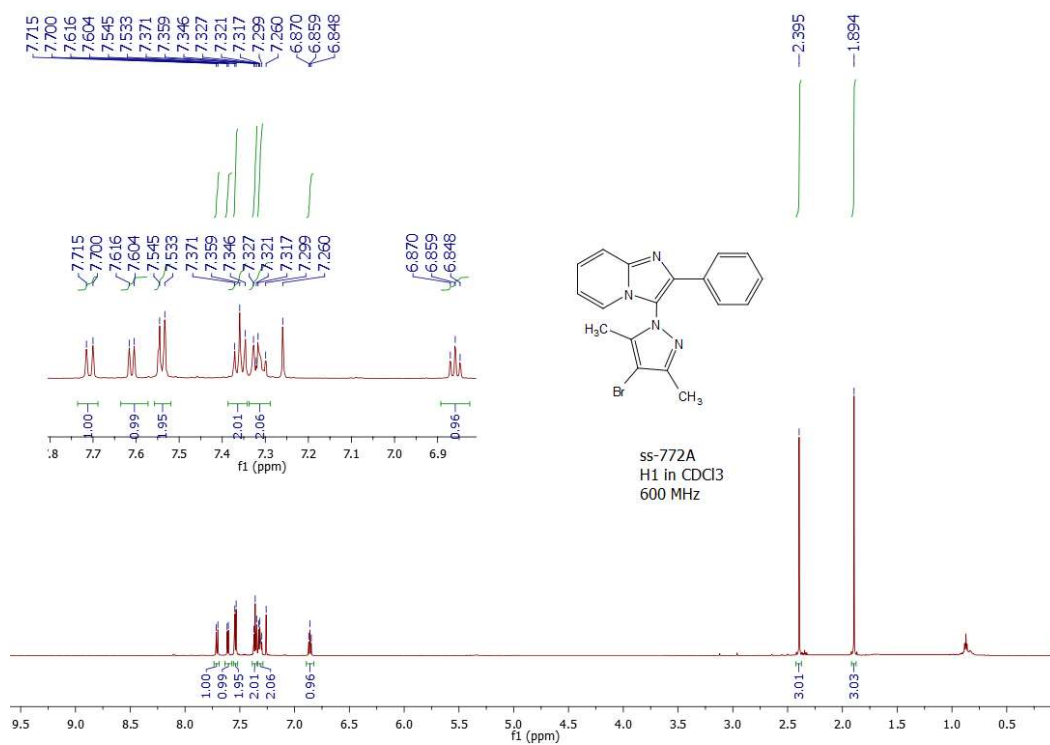
¹³C NMR of 6d

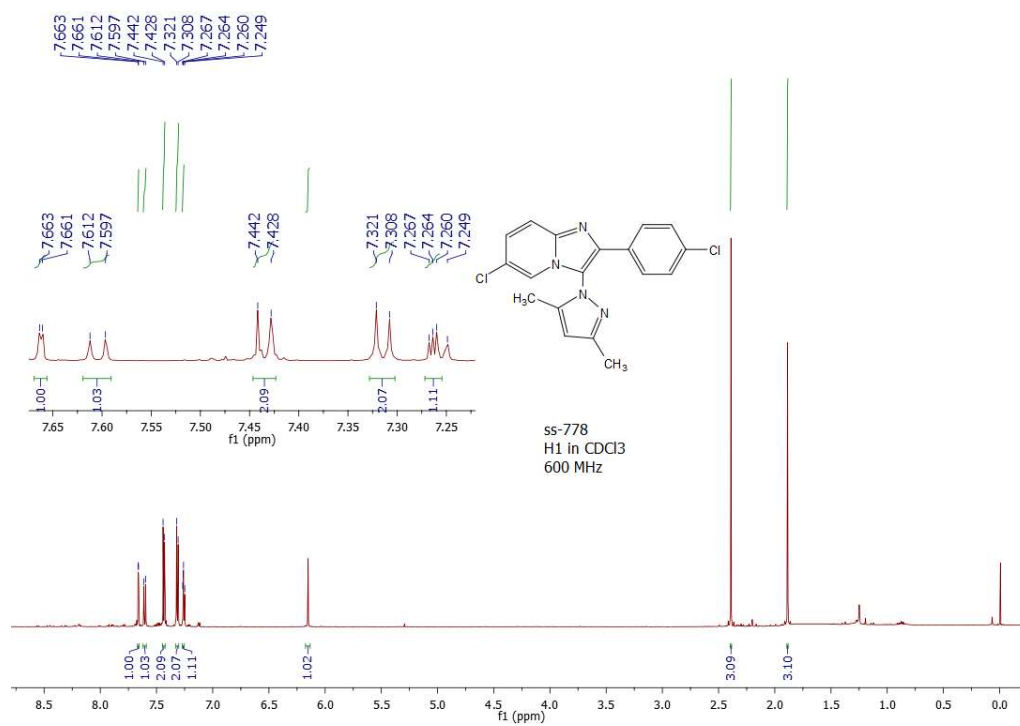


¹H NMR of 6e

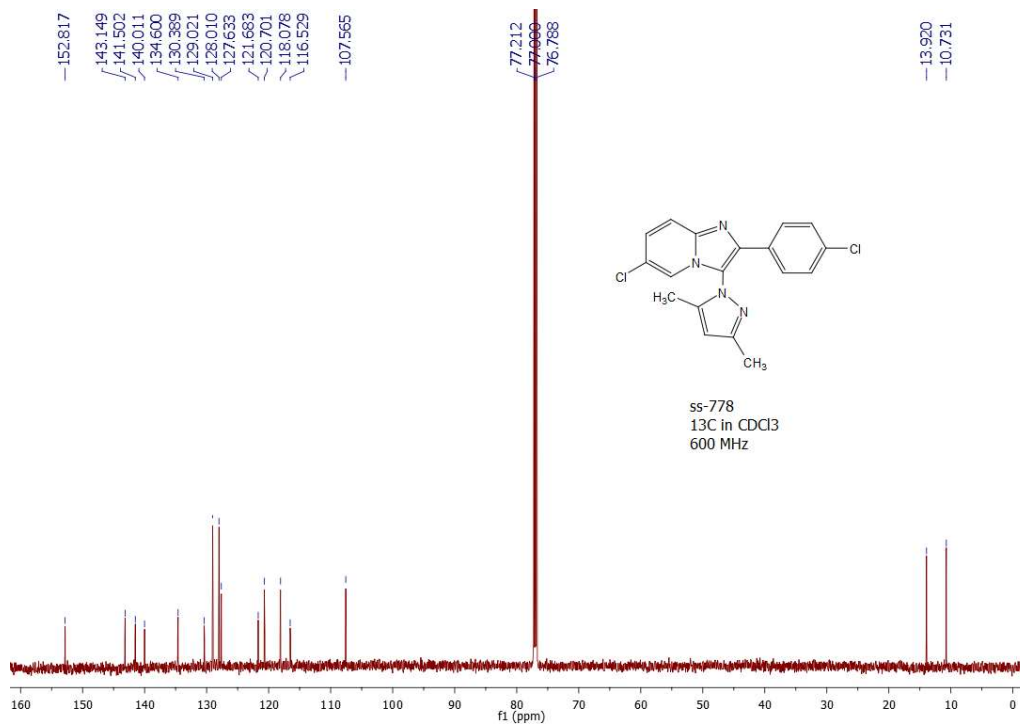


¹³C NMR of 6e

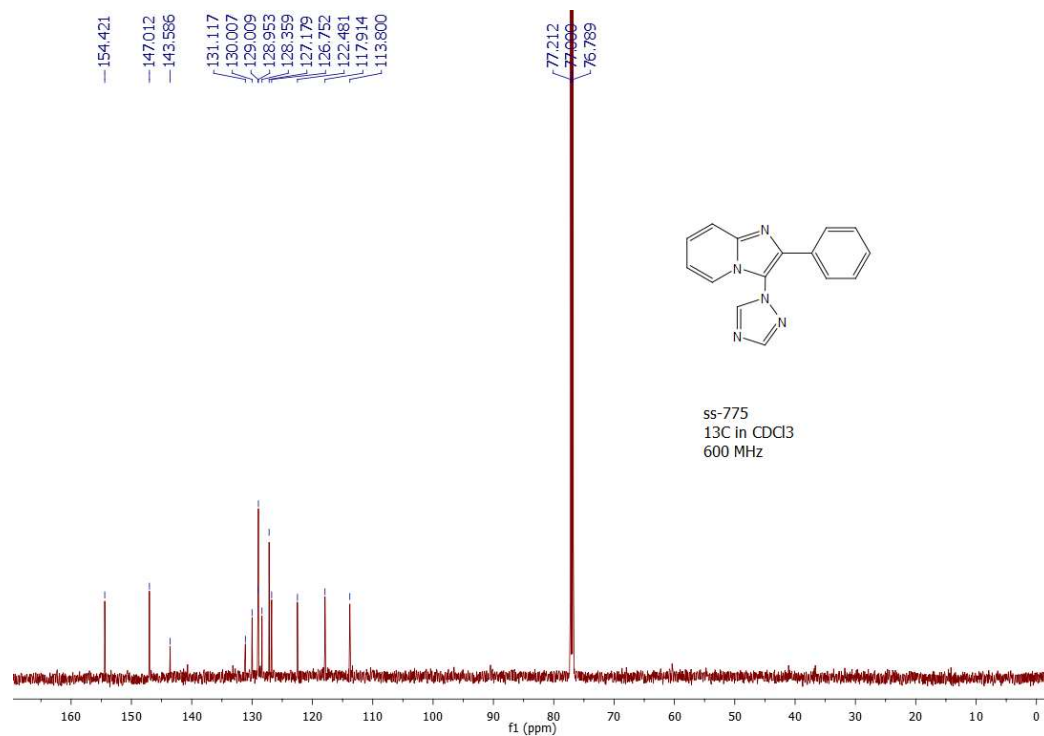
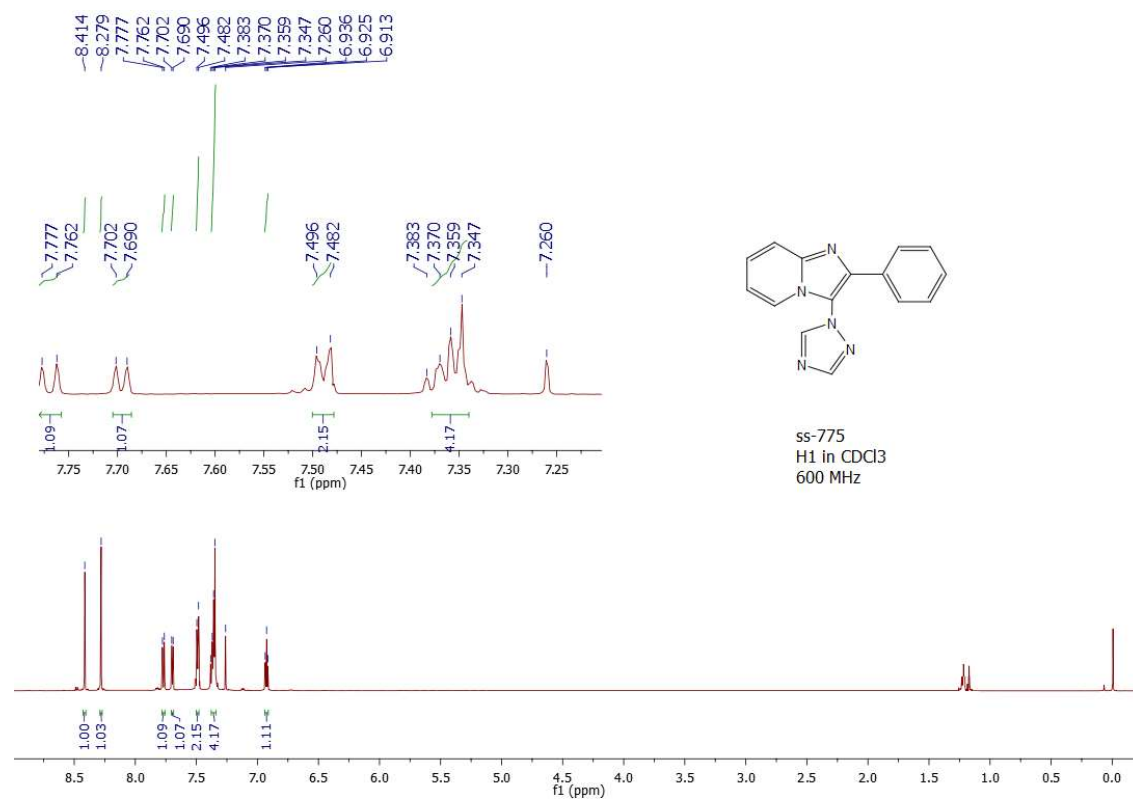


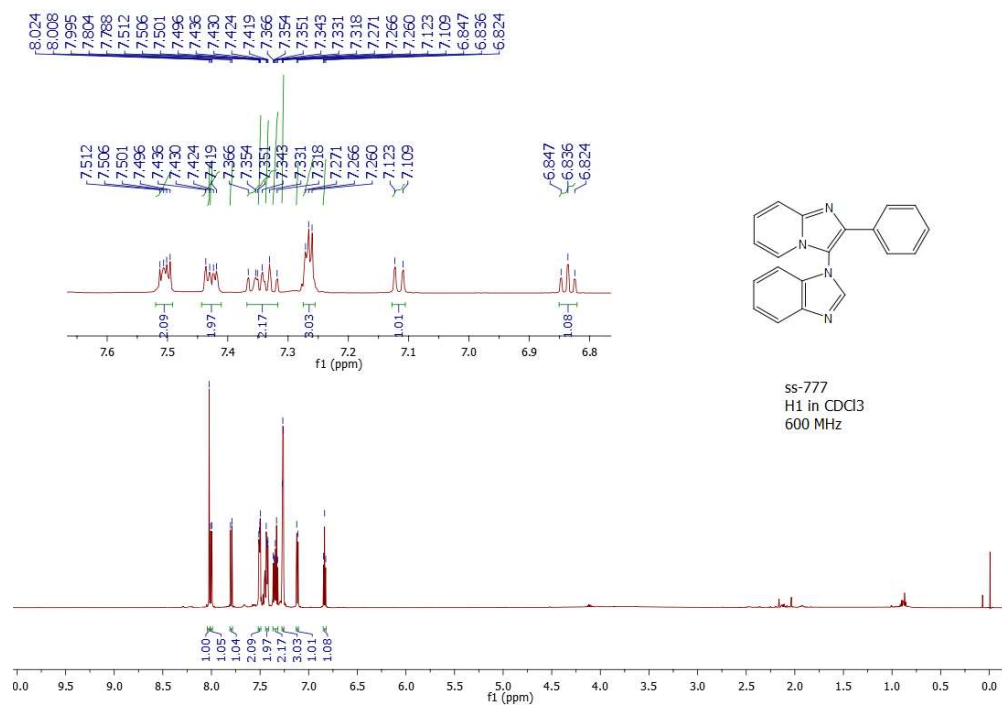


¹H NMR of 6g

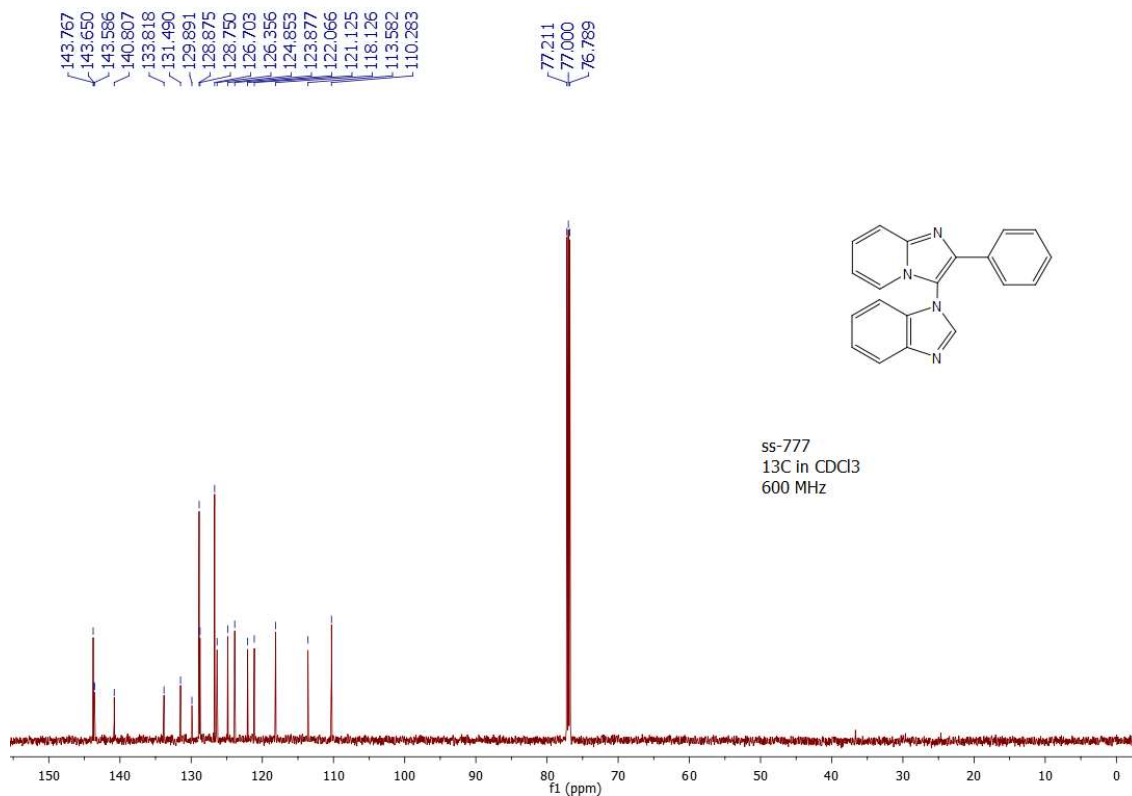


¹³C NMR of 6g

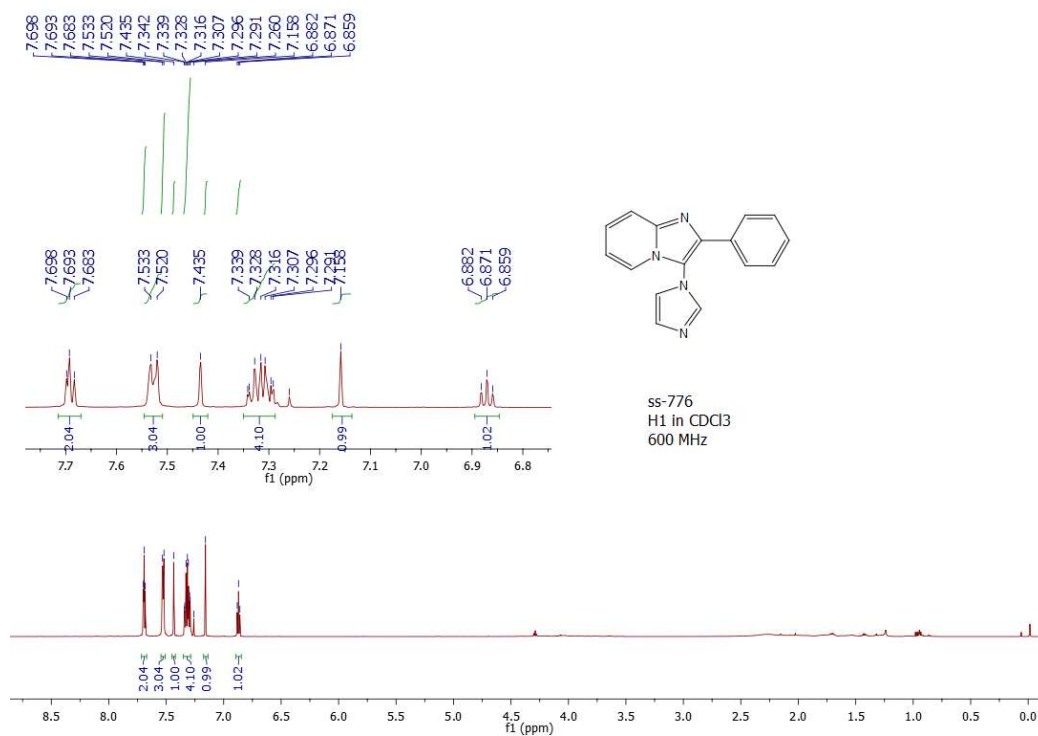




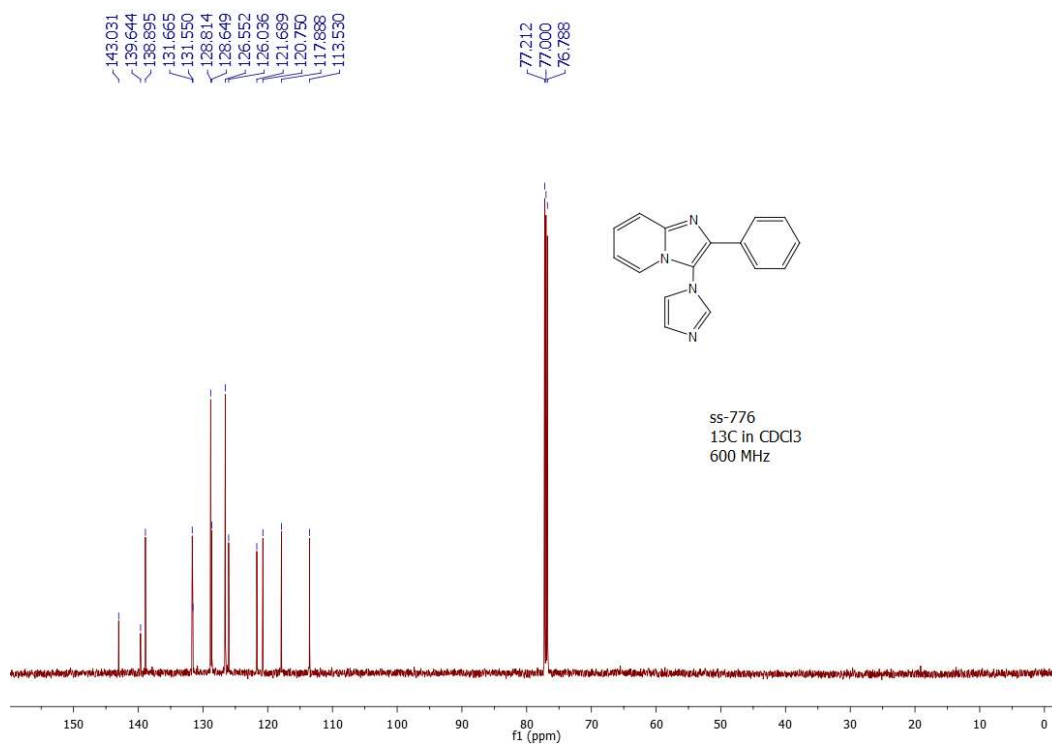
¹H NMR of 6i



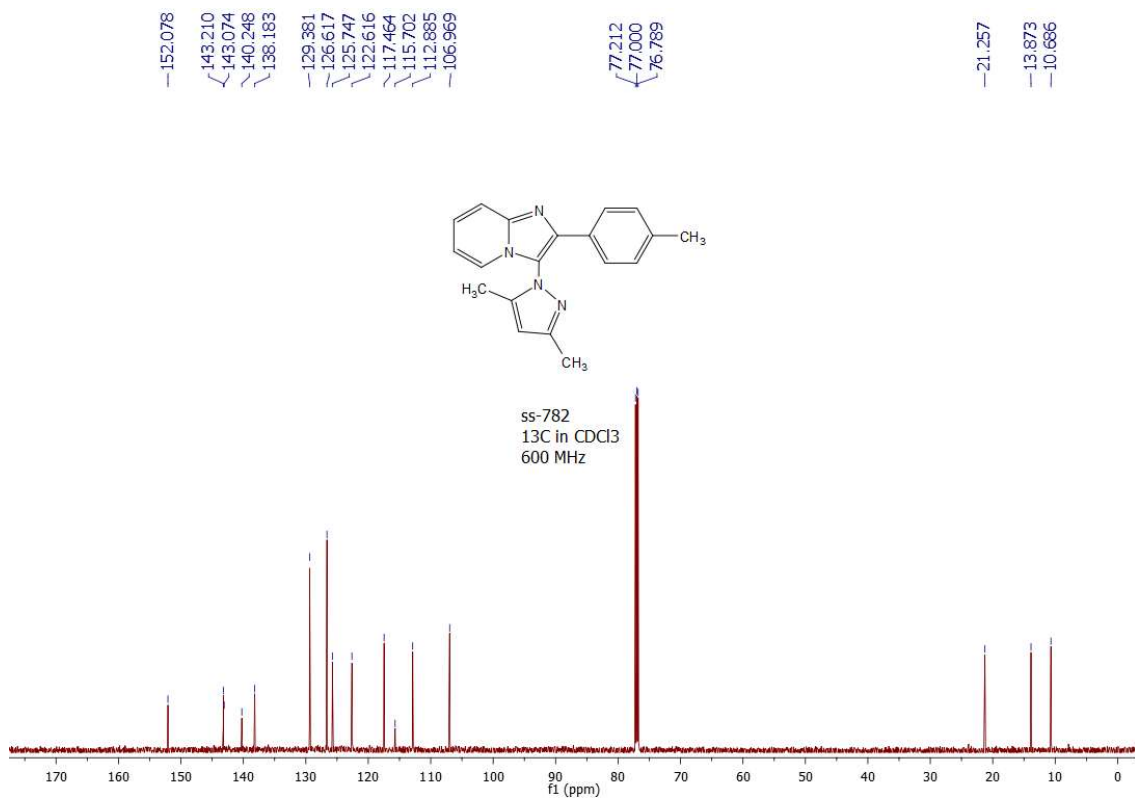
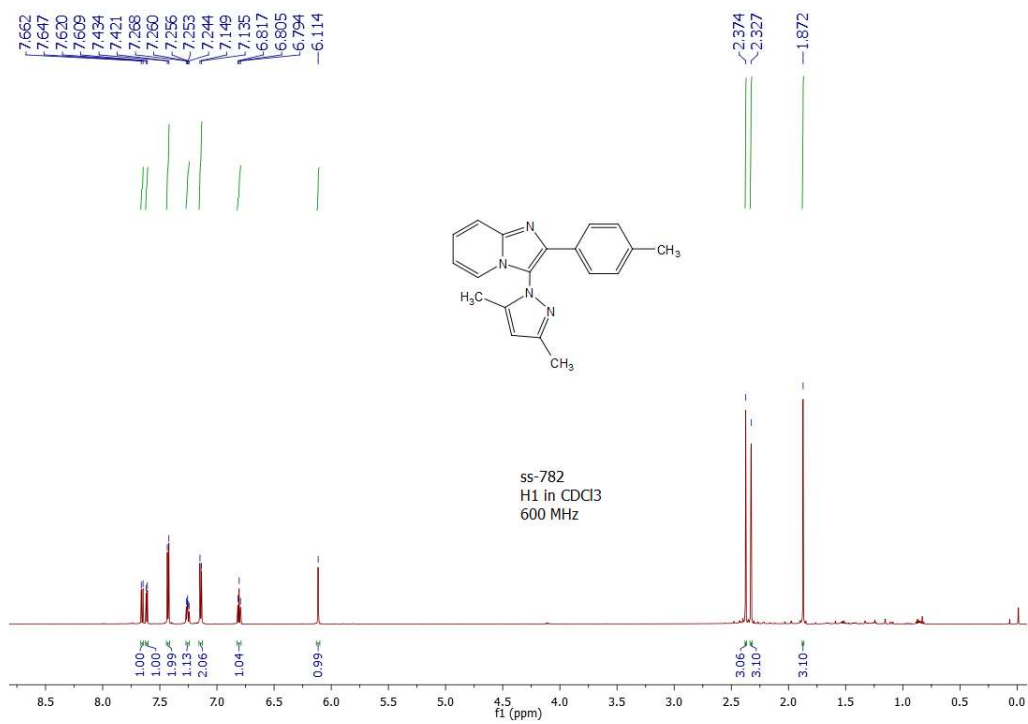
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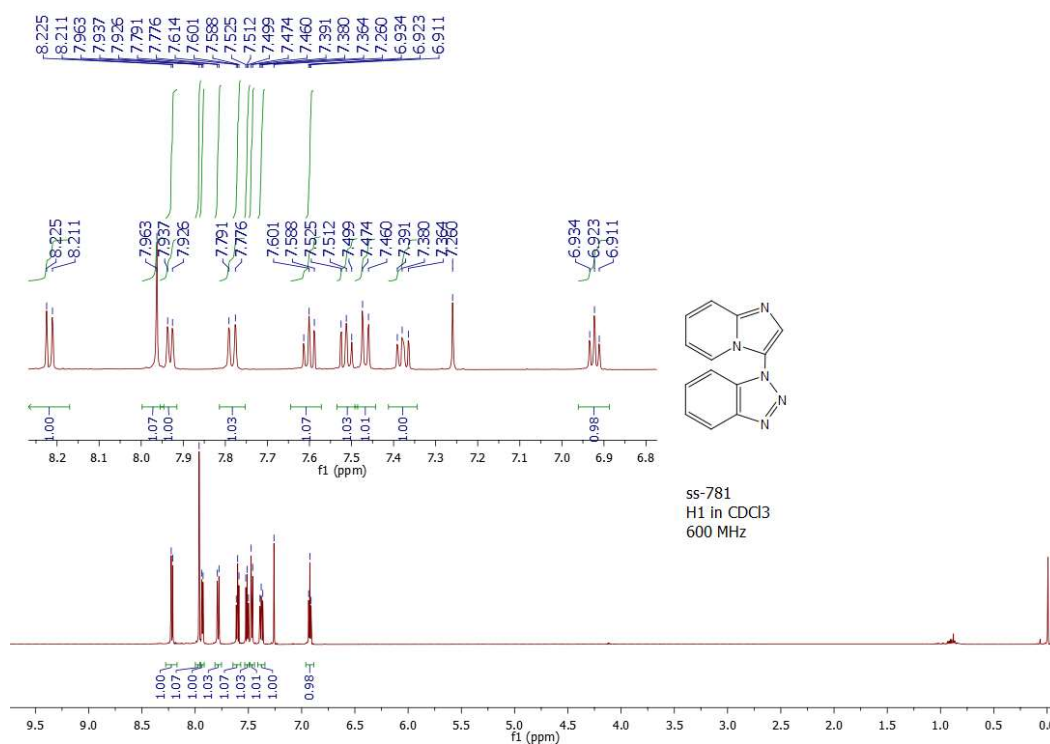


¹H NMR of 6j

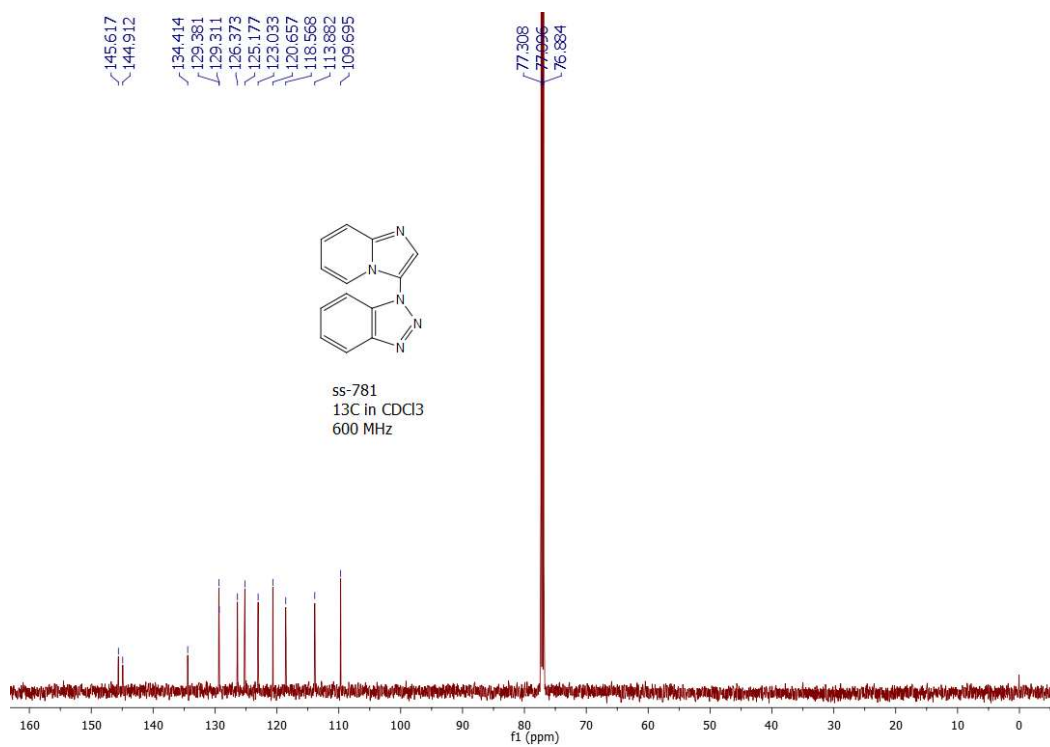


¹³C NMR of 6j





¹H NMR of 13



¹³C NMR of 13