Supporting Information for

Hypervalent iodine-mediated highly mono- and di-selective etherification of 8-aminoquinolines on the C5 and C6 positions

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CONTENTS

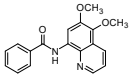
1. General Methods

Melting points were determined on a digital melting point apparatus and temperatures were uncorrected. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker spectrometers at 400 and 100 MHz, respectively. Chemical shifts were reported in ppm relative to TMS for ^{1}H and ^{13}C NMR spectra. $CDCl_3$ was used as the NMR solvent. HRESIMS spectra were recorded on a FTMS apparatus. Silica gel (300-400 mesh) was used for flash column chromatography, eluting (unless otherwise stated) with an ethyl acetate/petroleum ether (PE) (60-90 °C) mixture. Unless otherwise noted, all reagents were obtained commercially and used without further purification.

2. General Procedure for the Preparation of 5.

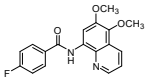
To a solution of acetamide protected 8-aminoquinoline (0.2 mmol) and alcohol (0.7 mL) in CH_3NO_2 (1.4 mL) was added $PhI(OAc)_2$ (0.6 mmol) under an air atmosphere and the mixture was stirred at 120 °C for 12 h. The reaction mixture was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel (eluent: EtOAc/PE = 1:8) to yield the corresponding product **5**.

Spectroscopic Data of the Product 5.



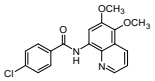
***N*-(5,6-dimethoxyquinolin-8-yl)benzamide (5a)**

Yellow solid (81%). Mp. 146.3–147.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.69 (s, 1H), 8.98 (s, 1H), 8.72 (dd, J = 4.2, 1.6 Hz, 1H), 8.47 (dd, J = 8.5, 1.6 Hz, 1H), 8.12–8.07 (m, 2H), 7.62–7.54 (m, 3H), 7.47 (dd, J = 8.5, 4.2 Hz, 1H), 4.11 (s, 3H), 4.00 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.4, 148.8, 146.3, 136.9, 135.0, 134.2, 131.9, 131.6, 130.3, 128.8, 127.2, 123.7, 121.8, 106.0, 61.4, 56.7. HRESIMS calcd for $[C_{18}H_{16}N_2O_3 + H]^+$ 309.1239, found 309.1230.



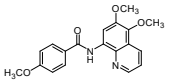
***N*-(5,6-Dimethoxy-quinolin-8-yl)-4-fluoro-benzamide (5b)**

Yellow solid (87%). Mp. 152.6–153.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.63 (s, 1H), 8.93 (s, 1H), 8.72 (dd, J = 4.2, 1.6 Hz, 1H), 8.47 (dd, J = 8.5, 1.6 Hz, 1H), 8.13–8.07 (m, 2H), 7.47 (dd, J = 8.5, 4.2 Hz, 1H), 7.27 (d, J = 2.8 Hz, 1H), 7.25–7.22 (m, 1H), 4.10 (s, 3H), 4.00 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.0 (d, J = 251.0 Hz), 164.2, 148.7, 146.3, 137.0, 134.2, 131.5, 131.2 (d, J = 3.0 Hz), 130.3, 129.6 (d, J = 9.0 Hz), 123.7, 121.8, 116.0 (d, J = 22.0 Hz), 106.0, 61.4, 56.7. HRESIMS calcd for $[C_{18}H_{15}FN_2O_3 + H]^+$ 349.0964, found 349.0384.



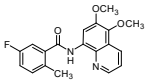
4-Chloro-*N*-(5,6-dimethoxy-quinolin-8-yl)-benzamide (5c)

Yellow solid (86%). Mp. 143.1–143.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.41 (s, 1H), 8.96 (s, 1H), 8.64 (dd, J = 4.2, 1.6 Hz, 1H), 8.44 (dd, J = 8.5, 1.6 Hz, 1H), 7.83–7.78 (m, 1H), 7.52–7.48 (m, 1H), 7.46–7.38 (m, 3H), 4.10 (s, 3H), 3.98 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.8, 148.7, 146.4, 137.2, 135.7, 134.1, 131.6, 131.4, 131.2, 130.6, 130.2, 130.0, 127.2, 123.6, 121.8, 106.3, 61.5, 56.8. HRESIMS calcd for $[C_{18}H_{15}ClN_2O_3 + H]^+$ 343.0849, found 343.0843.



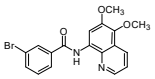
***N*-(5,6-Dimethoxy-quinolin-8-yl)-4-methoxy-benzamide (5d)**

Yellow solid (87%). Mp. 135.0–135.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.60 (s, 1H), 8.94 (s, 1H), 8.70 (dd, J = 4.2, 1.6 Hz, 1H), 8.45 (dd, J = 8.5, 1.6 Hz, 1H), 8.07–8.03 (m, 2H), 7.44 (dd, J = 8.5, 4.2 Hz, 1H), 7.06–7.03 (m, 2H), 4.09 (s, 3H), 3.98 (s, 3H), 3.90 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.9, 162.6, 148.8, 146.2, 136.6, 134.2, 131.9, 130.2, 129.1, 127.3, 123.7, 121.7, 114.0, 105.7, 61.5, 56.7, 55.5. HRESIMS calcd for $[C_{19}H_{18}N_2O_4 + H]^+$ 339.1345, found 339.1339.



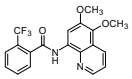
***N*-(5,6-Dimethoxy-quinolin-8-yl)-5-fluoro-2-methyl-benzamide (5e)**

Yellow solid (89%). Mp. 176.6–177.3 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.90 (s, 1H), 8.63 (dd, J = 4.1, 1.6 Hz, 1H), 8.44 (dd, J = 8.5, 1.6 Hz, 1H), 7.42 (dd, J = 8.5, 4.2 Hz, 1H), 7.37 (dd, J = 8.8, 2.7 Hz, 1H), 7.26 (dd, J = 8.2, 5.8 Hz, 1H), 7.09 (dt, J = 8.3, 2.7 Hz, 1H), 4.09 (s, 3H), 3.98 (s, 3H), 2.55 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 166.6 (d, J = 2.2 Hz), 160.8 (d, J = 245.7 Hz), 148.7, 146.4, 137.8 (d, J = 6.2 Hz), 137.2, 134.0, 132.9 (d, J = 7.5 Hz), 132.1 (d, J = 3.4 Hz), 131.4, 130.3, 123.6, 121.8, 117.2 (d, J = 20.8 Hz), 114.3 (d, J = 22.7 Hz), 106.2, 61.4, 56.8, 19.4. HRESIMS calcd for $[C_{19}H_{17}FN_2O_3 + H]^+$ 341.1301, found 341.1299.



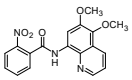
3-Bromo-*N*-(5,6-dimethoxy-quinolin-8-yl)-benzamide (5f)

Yellow solid (91%). Mp. 157.4–158.3 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.59 (s, 1H), 8.89 (s, 1H), 8.70 (dd, J = 4.2, 1.6 Hz, 1H), 8.44 (dd, J = 8.5, 1.6 Hz, 1H), 8.20 (t, J = 1.8 Hz, 1H), 7.97 (ddd, J = 7.8, 1.5, 1.0 Hz, 1H), 7.70 (ddd, J = 8.0, 1.9, 0.9 Hz, 1H), 7.46–7.39 (m, 2H), 4.08 (s, 3H), 3.98 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.7, 148.7, 146.4, 137.2, 137.0, 134.8, 134.1, 131.2, 130.6, 130.5, 130.3, 125.6, 123.7, 123.1, 121.8, 106.2, 61.4, 56.7. HRESIMS calcd for $[C_{18}H_{15}BrN_2O_3 + H]^+$ 387.0344, found 387.0334.



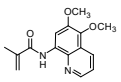
***N*-(5,6-Dimethoxy-quinolin-8-yl)-2-trifluoromethyl-benzamide (5g)**

Yellow solid (83%). Mp. 166.1–166.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 8.91 (s, 1H), 8.60 (dd, J = 4.2, 1.6 Hz, 1H), 8.44 (dd, J = 8.5, 1.6 Hz, 1H), 7.78–7.74 (m, 2H), 7.68 (t, J = 7.1 Hz, 1H), 7.62 (dd, J = 11.3, 4.0 Hz, 1H), 7.41 (dd, J = 8.5, 4.2 Hz, 1H), 4.10 (s, 3H), 3.98 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.8, 148.7, 146.4, 137.3, 136.0, 133.9, 132.2, 131.4, 130.2 (d, J = 7.47 Hz), 128.5, 127.8, 127.5,126.7 (dd, J = 4.88 Hz), 125.0, 123.6, 121.8, 106.3, 61.4, 56.8. HRESIMS calcd for $[C_{19}H_{15}F_3N_2O_3 + H]^+$ 377.1113, found 377.1103.



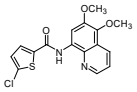
***N*-(5,6-Dimethoxy-quinolin-8-yl)-2-nitro-benzamide (5h)**

Yellow solid (80%). Mp. 201.1–201.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.86 (s, 1H), 8.60 (dd, J = 4.2, 1.6 Hz, 1H), 8.45 (dd, J = 8.5, 1.6 Hz, 1H), 8.13 (d, J = 8.1 Hz, 1H), 7.78–7.75 (m, 2H), 7.66 (ddd, J = 8.2, 5.0, 4.0 Hz, 1H), 7.42 (dd, J = 8.5, 4.2 Hz, 1H), 4.09 (s, 3H), 3.99 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.1, 148.7, 146.8, 146.4, 137.4, 133.8, 133.8, 132.9, 131.1, 130.9, 130.4, 128.6, 124.8, 123.7, 121.8, 106.5, 61.5, 56.8. HRESIMS calcd for $[C_{18}H_{15}N_3O_5 + H]^+$ 354.1090, found 354.1083.



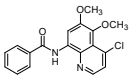
***N*-(5,6-Dimethoxy-quinolin-8-yl)-2-methyl-acrylamide (5i)**

Yellow solid (81%). Mp. 121.5–122.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 8.85 (s, 1H), 8.66 (dd, J = 4.1, 1.6 Hz, 1H), 8.43 (dd, J = 8.5, 1.6 Hz, 1H), 7.43 (dd, J = 8.5, 4.2 Hz, 1H), 6.04 (s, 1H), 5.56 (s, 1H), 4.05 (s, 3H), 3.96 (s, 3H), 2.18 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 166.3, 148.6, 146.2, 140.5, 136.7, 134.0, 131.5, 130.2, 123.6, 121.7, 120.7, 105.7, 61.4, 56.6, 18.6. HRESIMS calcd for $[C_{15}H_{16}N_2O_3 + H]^+$ 273.1239, found 273.1237.



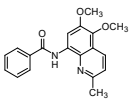
5-Chloro-thiophene-2-carboxylic acid (5,6-dimethoxy-quinolin-8-yl)-amide (5j)

Yellow solid (77%). Mp. 124.0–124.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.43 (s, 1H), 8.80 (s, 1H), 8.69 (dd, J = 4.2, 1.6 Hz, 1H), 8.45 (dd, J = 8.5, 1.6 Hz, 1H), 7.61 (d, J = 4.0 Hz, 1H), 7.45 (dd, J = 8.5, 4.2 Hz, 1H), 7.01 (d, J = 4.0 Hz, 1H), 4.06 (s, 3H), 3.98 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.9, 148.7, 146.4, 138.5, 137.1, 136.3, 133.9, 131.0, 130.4, 127.5, 127.2, 123.7, 121.8, 106.0, 61.4, 56.7. HRESIMS calcd for $[C_{16}H_{13}ClN_2O_3S + H]^+$ 349.0414, found 349.0424.



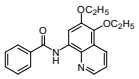
***N*-(4-Chloro-5,6-dimethoxy-quinolin-8-yl)-benzamide (5k)**

Yellow solid (85%). Mp. 151.4–151.9 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.75 (s, 1H), 9.02 (s, 1H), 8.46 (d, J = 4.6 Hz, 1H), 8.06–8.03 (m, 2H), 7.59–7.52 (m, 3H), 7.46 (d, J = 4.6 Hz, 1H), 4.09 (s, 3H), 3.91 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.4, 151.3, 145.0, 139.8, 137.5, 135.5, 134.8, 132.2, 132.0, 128.9, 127.2, 124.6, 121.6, 106.3, 61.8, 56.7. HRESIMS calcd for $[C_{18}H_{15}ClN_2O_3 + H]^+$ 343.0849, found 343.0834.



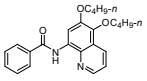
***N*-(5,6-Dimethoxy-2-methyl-quinolin-8-yl)-benzamide (5l)**

Yellow solid (88%). Mp. 132.1–132.7 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.73 (s, 1H), 8.90 (s, 1H), 8.31 (d, J = 8.6 Hz, 1H), 8.09 – 8.04 (m, 2H), 7.59 – 7.52 (m, 3H), 7.30 (d, J = 8.6 Hz, 1H), 4.07 (s, 3H), 3.96 (s, 3H), 2.72 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.1, 155.1, 148.0, 137.1, 135.1, 133.6, 131.8, 130.9, 130.5, 128.8, 127.1, 122.6, 121.7, 105.9, 61.4, 56.7, 25.1. HRESIMS calcd for $[C_{19}H_{18}N_2O_3 + H]^+$ 323.1396, found 323.1385.



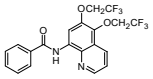
***N*-(5,6-Diethoxy-quinolin-8-yl)-benzamide (5m)**

Yellow solid (72%). Mp. 152.4–153.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.66 (s, 1H), 8.93 (s, 1H), 8.80–8.68 (m, 1H), 8.48 (d, J = 8.5 Hz, 1H), 8.08 (d, J = 7.5 Hz, 2H), 7.61–7.56 (m, 3H), 7.44 (dd, J = 8.5, 4.1 Hz, 1H), 4.35 (dd, J = 13.9, 7.0 Hz, 2H), 4.24 (dd, J = 14.0, 7.0 Hz, 2H), 1.53 (t, J = 7.0 Hz, 3H), 1.46 (t, J = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.3, 148.0, 146.2, 136.3, 135.1, 134.3, 131.8, 131.3, 130.6, 128.8, 127.2, 124.3, 121.6, 107.3, 69.5, 65.3, 15.7, 15.1. HRESIMS calcd for $[C_{20}H_{20}N_2O_3 + H]^+$ 337.1552, found 337.1496.



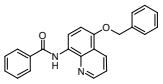
***N*-(5,6-Dibutoxy-quinolin-8-yl)-benzamide (5n)**

Yellow solid (67%). Mp. 162.3–162.9 °C, ^{1}H NMR (400 MHz, CDCl3) δ 10.66 (s, 1H), 8.93 (s, 1H), 8.69 (dd, *J* = 4.1, 1.6 Hz, 1H), 8.46 (dd, *J* = 8.5, 1.6 Hz, 1H), 8.07 (dd, *J* = 7.9, 1.6 Hz, 2H), 7.61–7.52 (m, 3H), 7.43 (dd, *J* = 8.5, 4.2 Hz, 1H), 4.26 (t, *J* = 6.5 Hz, 2H), 4.14 (t, *J* = 6.6 Hz, 2H), 1.93–1.78 (m, 4H), 1.58 (ddd, *J* = 15.1, 7.5, 1.3 Hz, 4H), 1.01 (t, *J* = 7.5 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 165.3, 148.3, 146.1, 136.4, 135.1, 134.3, 131.8, 131.2, 130.5, 128.8, 127.2, 124.1, 121.5, 107.2, 73.7, 69.4, 32.4, 31.7, 19.4, 19.3, 13.9, 13.9. HRESIMS calcd for $[C_{24}H_{28}N_2O_3 + H]^+$ 393.2178, found 393.2182.



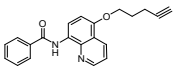
***N*-[5,6-Bis-(2,2,2-trifluoro-ethoxy)-quinolin-8-yl]-benzamide (5o)**

Yellow solid (62%). Mp. 155.1–156.3 °C, ^{1}H NMR (400 MHz, CDCl3) *δ* 12.25 (s, 1H), 10.77 (s, 1H), 8.82 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.49 (dd, *J* = 8.3, 1.7 Hz, 1H), 8.12–8.08 (m, 2H), 7.66–7.61 (m, 1H), 7.61–7.55 (m, 2H), 7.35 (dd, *J* = 8.3, 4.4 Hz, 1H), 6.66 (s, 1H), 4.52 (q, *J* = 7.9 Hz, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 166.2, 150.6, 149.8, 148.2, 141.4, 133.1, 132.5, 131.2, 129.0, 127.6, 124.5, 121.8, 118.8, 115.4, 112.8, 102.2, 66.2, 65.9. HRESIMS calcd for $[C_{20}H_{14}F_6N_2O_3 + H]^+$ 445.0987, found 445.0981.



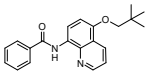
***N*-(5-Benzyloxy-quinolin-8-yl)-benzamide (6-1)**

Yellow solid (73%). Mp. 134.8–135.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 10.52 (s, 1H), 8.88–8.84 (m, 2H), 8.68 (dd, *J* = 8.4, 1.7 Hz, 1H), 8.09–8.05 (m, 2H), 7.58–7.55 (m, 2H), 7.55–7.50 (m, 3H), 7.49–7.41 (m, 3H), 7.40–7.36 (m, 1H), 7.00 (d, *J* = 8.6 Hz, 1H), 5.26 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 165.1, 149.5, 148.7, 139.5, 136.7, 135.4, 131.6, 131.5, 128.8, 128.7, 128.6, 128.3,128.1, 127.5, 127.2, 120.8, 116.7, 106.0, 70.6. HRESIMS calcd for $[C_{23}H_{18}N_2O_2 + H]^+$ 355.1447, found 355.1437.



***N*-(5-Pent-4-ynyloxy-quinolin-8-yl)-benzamide (6-2)**

Yellow solid (59%). Mp. 131.6–132.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 10.50 (s, 1H), 8.85 (dd, *J* = 5.0, 3.4 Hz, 2H), 8.61 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.07 (dd, *J* = 7.7, 1.6 Hz, 2H), 7.59–7.52 (m, 3H), 7.47 (dd, *J* = 8.4, 4.2 Hz, 1H), 6.92 (d, *J* = 8.6 Hz, 1H), 4.27 (t, *J* = 6.0 Hz, 2H), 2.51 (dt, *J* = 7.0, 2.6 Hz, 2H), 2.14 (dd, *J* = 13.0, 6.7 Hz, 2H), 2.01 (t, *J* = 2.6 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 165.1, 149.6, 148.7, 139.5, 135.4, 131.6, 131.3, 128.7, 128.1, 127.2, 120.7, 120.6, 116.7, 105.4, 83.3, 69.1, 66.8, 28.2, 15.4. HRESIMS calcd for $[C_{21}H_{18}N_2O_2 + H]^+$ 331.1447, found 331.1431.



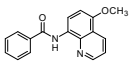
***N*-[5-(2,2-Dimethyl-propoxy)-quinolin-8-yl]-benzamide (6-3)**

Yellow solid (43%). Mp. 128.3–129.2 °C, ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 10.51 (s, 1H), 8.88–8.84 (m, 2H), 8.65 (dd, *J* = 8.4, 1.7 Hz, 1H), 8.09–8.06 (m, 2H), 7.58–7.53 (m, 3H), 7.48 (dd, *J* = 8.4, 4.2 Hz, 1H), 6.88 (d, *J* = 8.6 Hz, 1H), 3.80 (s, 2H), 1.15 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 165.0, 150.2, 148.7, 139.5, 135.4, 131.5, 131.3, 128.7, 127.8, 127.2, 120.7, 120.7, 116.9, 105.1, 78.2, 32.1, 26.8. HRESIMS calcd for $[C_{21}H_{22}N_2O_2 + H]^+$ 335.1760, found 335.1747.

3. General Procedure for the Preparation of 6.

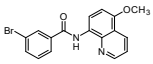
To a solution of acetamide protected 8-aminoquinoline (0.2 mmol), CF_3CO_2H (0.6 mmol), and alcohol (0.7 mL) in CH_3NO_2 (1.4 mL) was added $(PhIO)_n$ (0.6 mmol) under an air atmosphere and the mixture was stirred at -10 °C for 12 h. The reaction mixture was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel (eluent: EtOAc/PE = 1:8) to yield the corresponding product **6**.

Spectroscopic Data of the Products 6.



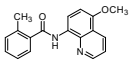
***N*-(5-methoxyquinolin-8-yl)benzamide (6a)**

Yellow solid (75%). Mp. 92.3–92.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.50 (s, 1H), 8.87 (dd, J = 5.1, 3.4 Hz, 2H), 8.61 (dd, J = 8.4, 1.7 Hz, 1H), 8.10–8.04 (m, 2H), 7.58–7.51 (m, 3H), 7.47 (dd, J = 8.4, 4.2 Hz, 1H), 6.90 (d, J = 8.6 Hz, 1H), 4.02 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.1, 150.5, 148.7, 139.5, 135.4, 131.6, 131.3, 128.7, 128.1, 127.2, 120.8, 120.6, 116.7, 104.4, 55.8. HRESIMS calcd for $[C_{17}H_{14}N_2O_2 + H]^+$ 279.1134, found 279.1138.



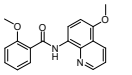
3-Bromo-*N*-(5-methoxy-quinolin-8-yl)-benzamide (6b)

Yellow solid (85%). Mp. 145.3–145.9 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.45 (s, 1H), 8.95–8.80 (m, 2H), 8.63 (t, J = 12.0 Hz, 1H), 8.21 (s, 1H), 7.98 (d, J = 7.4 Hz, 1H), 7.70 (d, J = 7.7 Hz, 1H), 7.58–7.38 (m, 2H), 6.90 (d, J = 8.5 Hz, 1H), 4.02 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.5, 150.7, 148.8, 139.4, 137.4, 134.5, 131.4, 130.5, 130.2, 127.7, 125.6, 123.0, 120.8, 120.5, 116.9, 104.3, 55.8. HRESIMS calcd for $[C_{17}H_{13}BrN_2O_2 + H]^+$ 357.0239, found 357.0231.



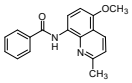
***N*-(5-methoxyquinolin-8-yl)-2-methylbenzamide (6c)**

Yellow solid (79%). Mp. 145.5–146.0 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.86 (d, J = 4.8 Hz, 1H), 8.77 (s, 1H), 8.59 (d, J = 4.8 Hz, 1H), 7.66 (s, 1H), 7.45–7.36 (m, 2H), 7.33–7.28 (m, 2H), 6.89 (d, J = 5.0 Hz, 1H), 4.01 (s, 3H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 150.5, 148.7, 139.4, 136.9, 136.5, 131.3, 130.1, 129.9, 128.2, 127.2, 125.9, 120.7, 120.5, 116.7, 104.4, 55.8, 20.1. HRESIMS calcd for $[C_{18}H_{16}N_2O_2 + H]^+$ 293.1290, found 293.1283.



2-Methoxy-*N*-(5-methoxy-quinolin-8-yl)-benzamide (6d)

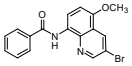
Yellow solid (75%). Mp. 143.2–143.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.14 (s, 1H), 8.96 (d, J = 8.5 Hz, 1H), 8.90–8.82 (m, 1H), 8.59 (d, J = 8.4 Hz, 1H), 8.35 (d, J = 7.8 Hz, 1H), 7.53–7.41 (m, 2H), 7.14 (t, J = 7.5 Hz, 1H), 7.07 (d, J = 8.2 Hz, 1H), 6.89 (d, J = 8.6 Hz, 1H), 4.19 (s, 3H), 4.01 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.1, 157.7, 150.3, 148.7, 139.9, 132.8, 132.2, 131.1, 129.2, 122.6, 121.2, 120.5, 120.5, 117.4, 111.6, 104.6, 56.1, 55.8. HRESIMS calcd for $[C_{18}H_{16}N_2O_3 + H]^+$ 309.1239, found 309.1236.



***N*-(5-Methoxy-2-methyl-quinolin-8-yl)-benzamide (6e)**

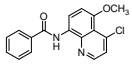
Yellow solid (82%). Mp. 133.9–134.4 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.56 (s, 1H), 8.81 (d, J = 8.5 Hz, 1H), 8.44 (d, J = 8.5 Hz, 1H), 8.09–8.04 (m, 2H), 7.58–7.51 (m, 3H), 7.30 (d, J = 8.5 Hz,

1H), 6.81 (d, J = 8.6 Hz, 1H), 3.98 (s, 3H), 2.75 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.9, 157.7, 150.5, 138.9, 135.5, 131.5, 131.3, 128.7, 127.5, 127.1, 121.5, 118.5, 116.6, 103.6, 55.7, 25.4. HRESIMS calcd for $[C_{18}H_{16}N_2O_2 + H]^+$ 293.1290, found 293.1281.



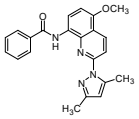
***N*-(3-Bromo-5-methoxy-quinolin-8-yl)-benzamide (6f)**

Brown solid (89%). Mp. 212.3–213.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.85 (d, J = 2.2 Hz, 1H), 8.28 (d, J = 2.0 Hz, 1H), 8.21 (s, 1H), 7.94 (d, J = 7.4 Hz, 2H), 7.61–7.51 (m, 2H), 7.48 (t, J = 7.6 Hz, 2H), 6.97 (d, J = 8.4 Hz, 1H), 4.06 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.0, 154.5, 150.1, 138.1, 133.8, 132.7, 132.2, 128.8, 127.4, 126.6, 125.9, 123.9, 118.8, 107.4, 56.2. HRESIMS calcd for $[C_{17}H_{13}BrN_2O_2 + H]^+$ 357.0239, found 357.0248.



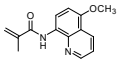
***N*-(4-Chloro-5-methoxy-quinolin-8-yl)-benzamide (6g)**

Yellow sticky solid (78%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.57 (s, 1H), 8.92 (d, J = 8.7 Hz, 1H), 8.63 (d, J = 4.7 Hz, 1H), 8.05 (dd, J = 7.9, 1.6 Hz, 2H), 7.58–7.51 (m, 3H), 7.49 (d, J = 4.7 Hz, 1H), 7.01 (d, J = 8.8 Hz, 1H), 3.99 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.1, 151.3, 147.5, 141.8, 141.3, 135.3, 131.7, 128.7, 128.6, 127.2, 123.9, 118.6, 117.8, 107.8, 56.3. HRESIMS calcd for $[C_{17}H_{13}ClN_2O_2 + H]^+$ 313.0744, found 313.0749.



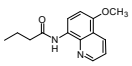
***N*-[2-(3,5-Dimethyl-pyrazol-1-yl)-5-methoxy-quinolin-8-yl]-benzamide (6h)**

Yellow solid (63%). Mp. 145.2–145.9 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.86 (s, 1H), 8.88 (d, J = 8.6 Hz, 1H), 8.67 (d, J = 9.1 Hz, 1H), 8.18 (d, J = 9.1 Hz, 1H), 8.01–7.94 (m, 2H), 7.60–7.49 (m, 3H), 6.88 (d, J = 8.6 Hz, 1H), 6.08 (s, 1H), 4.03 (s, 3H), 2.79 (d, J = 0.6 Hz, 3H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.6, 151.5, 150.9, 150.5, 141.2, 137.5, 135.8, 134.2, 131.6, 128.7, 127.6, 127.0, 118.2, 118.1, 114.4, 110.1, 104.1, 55.8, 15.6, 13.6. HRESIMS calcd for $[C_{22}H_{20}N_4O_2 + H]^+$ 373.1665, found 373.1664.



***N*-(5-Methoxy-quinolin-8-yl)-2-methyl-acrylamide (6i)**

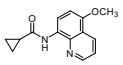
Yellow solid (73%). Mp. 120.2–123.0 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.12 (s, 1H), 8.82 (dd, J = 4.1, 1.4 Hz, 1H), 8.75 (d, J = 8.5 Hz, 1H), 8.57 (dd, J = 8.4, 1.4 Hz, 1H), 7.44 (dd, J = 8.4, 4.2 Hz, 1H), 6.85 (d, J = 8.6 Hz, 1H), 6.02 (s, 1H), 5.52 (s, 1H), 3.99 (s, 3H), 2.18 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 166.1, 150.4, 148.7, 140.8, 139.4, 131.2, 127.9, 120.7, 120.5, 120.2, 116.6, 104.4, 55.8, 18.7. HRESIMS calcd for $[C_{14}H_{14}N_2O_2 + H]^+$ 243.1134, found 243.1126.



***N*-(5-Methoxy-quinolin-8-yl)-butyramide (6j)**

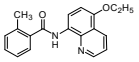
Yellow solid (56%). Mp. 126.3–127.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.55 (s, 1H), 8.80 (dd, J = 4.2, 1.7 Hz, 1H), 8.70 (d, J = 8.5 Hz, 1H), 8.57 (dd, J = 8.4, 1.7 Hz, 1H), 7.43 (dd, J = 8.4, 4.2 Hz, 1H), 6.83 (d, J = 8.6 Hz, 1H), 3.98 (s, 3H), 2.54–2.48 (m, 2H), 1.90–1.79 (m, 2H), 1.05 (t, J = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.3, 150.1, 148.5, 139.1, 131.2, 128.0, 120.6, 120.4,

116.5, 104.4, 55.7, 40.1, 19.2, 13.8. HRESIMS calcd for $[C_{14}H_{16}N_2O_2 + H]^+$ 245.1290, found 245.1283.



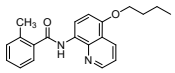
Cyclopropanecarboxylic acid (5-methoxy-quinolin-8-yl)-amide (6k)

Yellow solid (66%). Mp. 112.3–113.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.77 (s, 1H), 8.82 (dd, J = 4.2, 1.6 Hz, 1H), 8.65 (d, J = 8.5 Hz, 1H), 8.58 (dd, J = 8.4, 1.6 Hz, 1H), 7.44 (dd, J = 8.4, 4.2 Hz, 1H), 6.83 (d, J = 8.6 Hz, 1H), 3.98 (s, 3H), 1.83–1.73 (m, 1H), 1.17–1.10 (m, 2H), 0.88 (dt, J = 7.0, 4.0 Hz, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.8, 150.0, 148.5, 139.0, 131.2, 128.3, 120.6, 120.5, 116.5, 104.5, 55.7, 16.1, 7.8. HRESIMS calcd for $[C_{14}H_{14}N_2O_2 + H]^+$ 243.1134, found 243.1136.



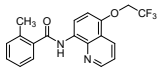
***N*-(5-ethoxyquinolin-8-yl)-2-methylbenzamide (6l)**

Yellow solid (78%). Mp. 136.2–136.7 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.89–8.73 (m, 2H), 8.62 (d, J = 4.6 Hz, 1H), 7.67 (s, 1H), 7.45-7.37 (m, 2H), 7.33-7.28 (m, 2H), 6.88 (d, J = 4.4 Hz, 1H), 4.28–4.17 (m, 2H), 2.60 (s, 3H), 1.54 (d, J = 5.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.8, 149.9, 148.6, 139.4, 136.9, 136.5, 131.4, 131.3, 130.1, 128.1, 127.2, 125.9, 120.7, 120.7, 116.8, 105.2, 64.1, 20.1, 14.7. HRESIMS calcd for $[C_{19}H_{18}N_2O_2 + H]^+$ 307.1447, found 307.1440.



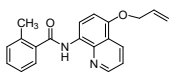
***N*-(5-butoxyquinolin-8-yl)-2-methylbenzamide (6m)**

Yellow solid (74%). Mp. 133.2–134.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.85-8.75 (m, 2H), 8.62 (s, 1H), 7.67 (s, 1H), 7.45-7.38 (m, 2H), 7.30 (s, 2H), 6.89 (s, 1H), 4.17 (d, J = 4.1 Hz, 2H), 2.60 (s, 3H), 1.91 (d, J = 5.5 Hz, 2H), 1.03 (d, J = 5.7 Hz, 3H). ^{13}C NMR (101 MHz, CDCl3) δ 167.8, 150.0, 148.6, 139.4, 137.0, 136.5, 131.3, 131.2, 130.0, 128.0, 127.2, 125.9, 120.7, 120.6, 116.8, 105.2, 68.3, 31.3, 20.1, 19.4, 13.9. HRESIMS calcd for $[C_{21}H_{22}N_2O_2 + H]^+$ 335.1760, found 335.1751.



2-methyl-*N*-(5-(2,2,2-trifluoroethoxy)quinolin-8-yl)benzamide (6n)

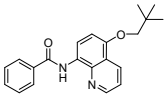
Reddish brown solid (65%). Mp. 139.6–140.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.01 (s, 1H), 8.92–8.84 (m, 1H), 8.82 (s, 1H), 8.65–8.56 (m, 1H), 7.67 (s, 1H), 7.51 (d, J = 3.7 Hz, 1H), 7.40 (d, J = 5.4 Hz, 1H), 7.35–7.30 (m, 2H), 6.96–6.86 (m, 1H), 4.62–4.50 (m, 2H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.0, 149.1, 147.9, 139.3, 136.6, 131.3, 131.0, 130.3, 123.0, 127.2, 126.0, 124.7, 121.4, 120.5, 115.9, 106.5, 66.7, 66.3, 20.2. HRESIMS calcd for $[C_{19}H_{15}F_3N_2O_2 + H]^+$ 361.1164, found 361.1153.



***N*-(5-Allyloxy-quinolin-8-yl)-2-methyl-benzamide (6o)**

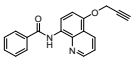
Yellow solid (82%). Mp. 129.1–129.8 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.96 (s, 1H), 8.86–8.82 (m, 2H), 8.64 (d, J = 3.9 Hz, 1H), 7.67 (s, 1H), 7.45-7.37 (m, 2H), 7.34–7.29 (m, 2H), 6.94–6.86 (m, 1H), 6.22–6.09 (m, 1H), 5.52 (d, J = 16.9 Hz, 1H), 5.36 (d, J = 9.3 Hz, 1H), 4.74 (s, 2H), 2.60

(s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 149.3, 148.7, 148.3,139.4, 136.9, 136.5, 133.0, 131.4, 131.3, 130.1, 128.4, 127.2, 125.9, 120.8, 117.8, 116.6, 105.8, 69.3, 20.1. HRESIMS calcd for $[C_{20}H_{18}N_2O_2 + H]^+$ 319.1447, found 319.1436.



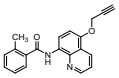
***N*-[5-(2,2-Dimethyl-propoxy)-quinolin-8-yl]-benzamide (6p)**

Yellow solid (41%). Mp. 128.3–129.2 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.51 (s, 1H), 8.90–8.82 (m, 2H), 8.65 (dd, J = 8.4, 1.7 Hz, 1H), 8.08 (dd, J = 7.7, 1.8 Hz, 2H), 7.58–7.52 (m, 3H), 7.47 (dd, J = 8.4, 4.2 Hz, 1H), 6.87 (d, J = 8.6 Hz, 1H), 3.80 (s, 2H), 1.15 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.0, 150.2, 148.7, 139.5, 135.4, 131.5, 131.3, 128.7, 127.8, 127.2, 120.7, 120.7, 116.9, 105.1, 78.2, 32.1, 26.8. HRESIMS calcd for $[C_{21}H_{22}N_2O_2 + H]^+$ 335.1760, found 335.1747.



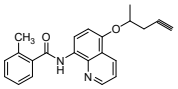
***N*-(5-(prop-2-ynyloxy)quinolin-8-yl)benzamide (6q)**

White solid (84%). Mp. 171.5–172.0 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.53 (s, 1H), 9.05–8.80 (m, 2H), 8.63 (d, J = 8.4 Hz, 1H), 8.08 (d, J = 7.3 Hz, 2H), 7.73–7.46 (m, 4H), 7.05 (d, J = 8.5 Hz, 1H), 4.91 (d, J = 2.3 Hz, 2H), 2.58 (d, J = 2.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.2, 148.8, 148.2, 139.5, 135.3, 131.6, 131.4, 128.9, 128.7, 127.2, 121.0, 120.8, 116.4, 106.5, 75.9, 56.5. HRESIMS calcd for $[C_{19}H_{14}N_2O_2 + H]^+$ 303.1134, found 303.1128.



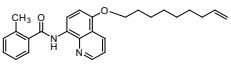
2-Methyl-*N*-(5-prop-2-ynyloxy-quinolin-8-yl)-benzamide (6r)

Yellow solid (85%). Mp. 123.5–124.1 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.98 (s, 1H), 8.87 (s, 1H), 8.79 (s, 1H), 8.61 (s, 1H), 7.66 (s, 1H), 7.49–7.36 (m, 2H), 7.31 (s, 2H), 7.04 (s, 1H), 4.91 (s, 2H), 2.59 (s, 4H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 148.8, 148.2, 139.3, 136.8, 136.6, 131.3, 130.1, 129.1, 127.2, 126.0, 121.0, 120.8, 116.3, 106.5, 78.2, 75.9, 56.5, 20.1. HRESIMS calcd for $[C_{20}H_{16}N_2O_2 + H]^+$ 317.1290, found 317.1283.



2-Methyl-*N*-[5-(1-methyl-prop-2-ynyloxy)-quinolin-8-yl]-benzamide (6s)

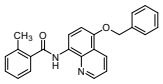
Yellow solid (82%). Mp. 121.2–121.9 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.89–8.82 (m, 1H), 8.77 (d, J = 1.2 Hz, 1H), 8.65–8.59 (m, 1H), 7.66 (d, J = 5.8 Hz, 1H), 7.47–7.36 (m, 2H), 7.35–7.28 (m, 2H), 7.00–6.94 (m, 1H), 4.79–4.70 (m, 1H), 2.73 (dd, J = 15.0, 2.0 Hz, 1H), 2.65–2.55 (m, 4H), 2.07 (s, 1H), 1.55 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 148.7, 148.2, 139.5, 136.9, 136.6, 131.5, 131.3, 130.1, 128.6, 127.2, 125.9, 121.6, 120.8, 116.6, 107.8, 80.1, 73.1, 70.7, 25.9, 20.1, 19.2. HRESIMS calcd for $[C_{22}H_{20}N_2O_2 + H]^+$ 345.1598, found 345.1600.



2-Methyl-*N*-(5-non-8-enyloxy-quinolin-8-yl)-benzamide (6t)

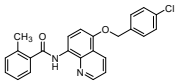
Yellow solid (50%). Mp. 131.3–131.9 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.84 (d, J = 8.5 Hz, 1H), 8.78 (dd, J = 4.2, 1.7 Hz, 1H), 8.62 (dd, J = 8.4, 1.7 Hz, 1H), 7.66 (d, J = 7.4 Hz, 1H), 7.44 (dd, J = 8.4, 4.2 Hz, 1H), 7.38 (dd, J = 11.1, 3.8 Hz, 1H), 7.33–7.29 (m, 2H), 6.88 (d, J = 8.6

Hz, 1H), 5.87–5.75 (m, 1H), 5.04–4.89 (m, 2H), 4.15 (t, J = 6.4 Hz, 2H), 2.59 (s, 3H), 2.05 (dd, J = 14.1, 6.8 Hz, 2H), 1.96–1.87 (m, 2H), 1.43–1.32 (m, 8H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.3, 149.6, 148.5, 139.6, 137.1, 136.3, 133.2, 131.6, 131.0, 130.3, 128.5, 127.0, 125.7, 121.0, 118.0, 116.3, 106.0, 70.8, 40.6, 35.8, 33.5, 31.9, 30.5, 23.2, 20.1. HRESIMS calcd for $[C_{26}H_{30}N_2O_2 + H]^+$ 403.2386, found 403.2380.



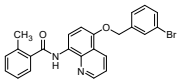
***N*-(5-Benzyloxy-quinolin-8-yl)-2-methyl-benzamide (6u)**

Brown sticky solid (73%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.86 (d, J = 8.5 Hz, 1H), 8.79 (dd, J = 4.2, 1.7 Hz, 1H), 8.67 (dd, J = 8.4, 1.7 Hz, 1H), 7.67 (d, J = 7.5 Hz, 1H), 7.54–7.49 (m, 2H), 7.46–7.43 (m, 2H), 7.40 (dd, J = 6.7, 4.4 Hz, 2H), 7.37 (t, J = 2.6 Hz, 1H), 7.32 (t, J = 7.3 Hz, 2H), 6.99 (d, J = 8.6 Hz, 1H), 5.27 (s, 2H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 149.5, 148.7, 139.4, 136.9, 136.7, 136.6, 131.4, 131.3, 130.1, 128.6, 128.5, 128.4, 128.1, 127.5, 127.2, 125.9, 120.8, 116.6, 106.0, 70.6, 20.1. HRESIMS calcd for $[C_{24}H_{20}N_2O_2 + H]^+$ 369.1603, found 369.1595.



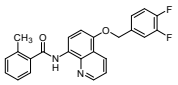
***N*-[5-(4-Chloro-benzyloxy)-quinolin-8-yl]-2-methyl-benzamide (6v)**

Yellow solid (74%). Mp. 143.5–144.2 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.90–8.82 (m, 1H), 8.79 (s, 1H), 8.64 (d, J = 3.5 Hz, 1H), 7.67 (d, J = 4.3 Hz, 1H), 7.45 (s, 3H), 7.41–7.38 (m, 3H), 7.34–7.29 (m, 2H), 6.99–6.93 (m, 1H), 5.23 (s, 2H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 149.2, 148.8, 139.4, 136.8, 136.6, 135.2, 134.0, 131.3, 130.1, 128.8, 128.7, 127.2, 126.0, 120.9, 120.7, 116.5, 106.0, 69.8, 20.1. HRESIMS calcd for $[C_{24}H_{19}ClN_2O_2 + H]^+$ 403.1213, found 403.1207.



***N*-[5-(3-Bromo-benzyloxy)-quinolin-8-yl]-2-methyl-benzamide (6w)**

Yellow solid (79%). Mp. 148.6–149.3 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.90–8.77 (m, 2H), 8.65 (dd, J = 8.4, 1.7 Hz, 1H), 7.70–7.64 (m, 2H), 7.50 (d, J = 10.3 Hz, 1H), 7.48–7.45 (m, 1H), 7.43 (dd, J = 9.1, 4.6 Hz, 1H), 7.39 (dd, J = 7.1, 1.7 Hz, 1H), 7.34–7.30 (m, 3H), 6.95 (d, J = 8.6 Hz, 1H), 5.23 (s, 2H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 149.1, 148.8, 139.4, 139.0, 136.8, 136.6, 131.3, 131.2, 130.4, 130.2, 130.1, 128.8, 127.2, 126.0, 125.9, 122.8, 120.9, 120.7, 116.5, 106.0, 69.7, 20.1. HRESIMS calcd for $[C_{24}H_{19}BrN_2O_2 + H]^+$ 447.0708, found 447.0702.

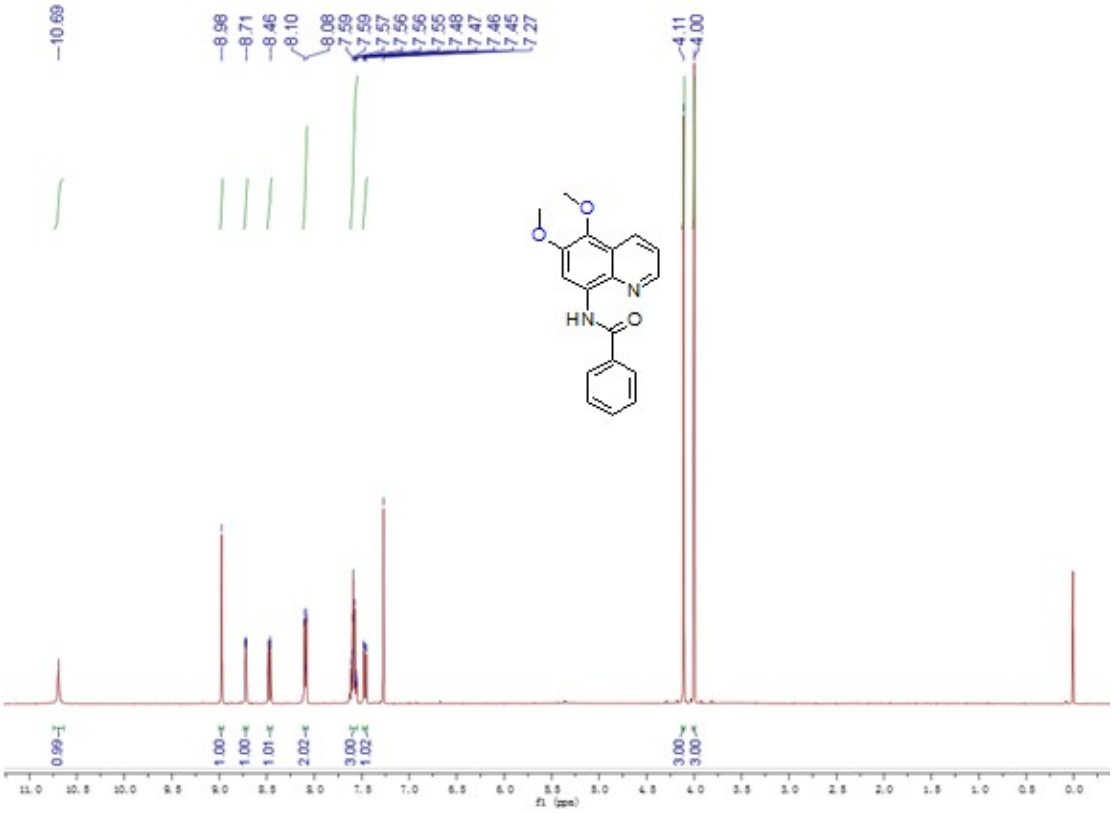


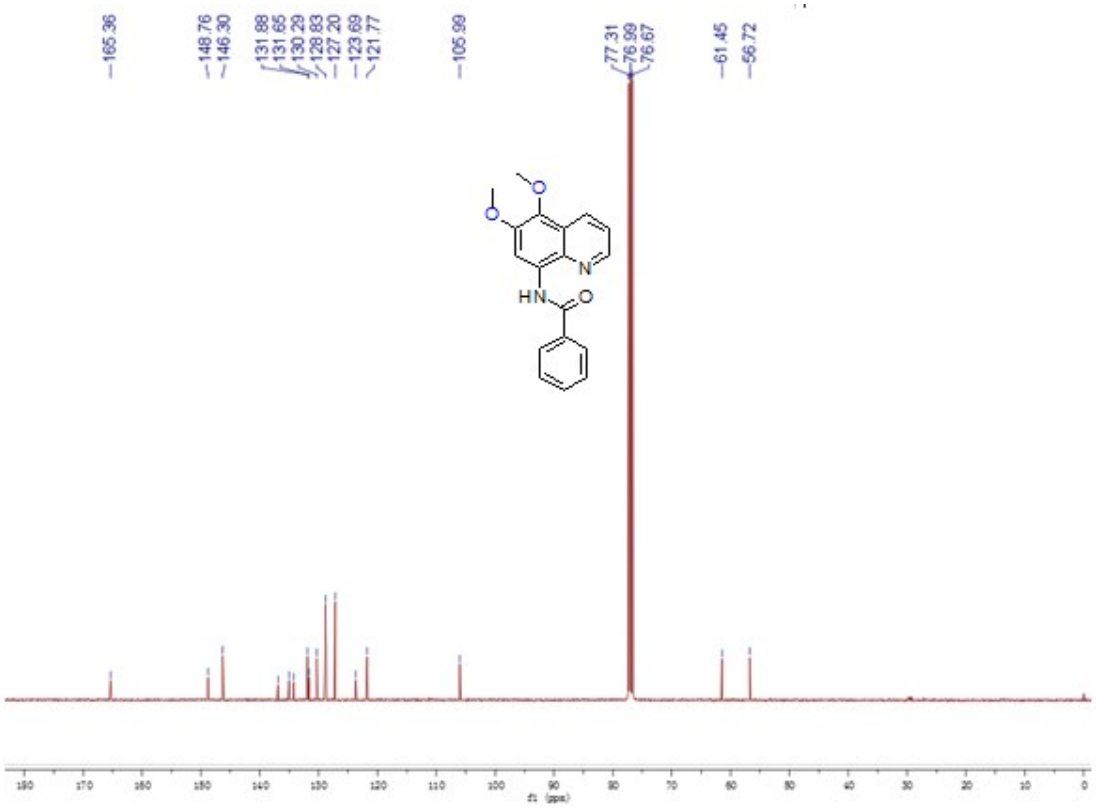
***N*-[5-(3,4-Difluoro-benzyloxy)-quinolin-8-yl]-2-methyl-benzamide (6x)**

Yellow solid (70%). Mp. 157.8–158.5 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.98 (s, 1H), 8.85 (d, J = 8.5 Hz, 1H), 8.81 (dd, J = 4.2, 1.7 Hz, 1H), 8.63 (dd, J = 8.4, 1.7 Hz, 1H), 7.67 (d, J = 7.4 Hz, 1H), 7.47 (dd, J = 8.4, 4.2 Hz, 1H), 7.42–7.35 (m, 2H), 7.32 (t, J = 7.4 Hz, 2H), 7.25–7.17 (m, 2H), 6.95 (d, J = 8.6 Hz, 1H), 5.21 (s, 2H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.9, 149.0, 148.9, 139.4, 136.8, 136.6, 133.7(dd, J = 3.92 Hz, 3.78 Hz), 131.3, 131.2, 130.2, 128.9, 127.2, 126.0, 123.4 (d, J = 3.70 Hz), 121.0, 120.7, 117.6, 117.4, 116.6, 116.4, 116.4, 106.0, 69.3, 20.1. HRESIMS calcd for $[C_{24}H_{18}F_2N_2O_2 + H]^+$ 405.1415, found 405.1406.

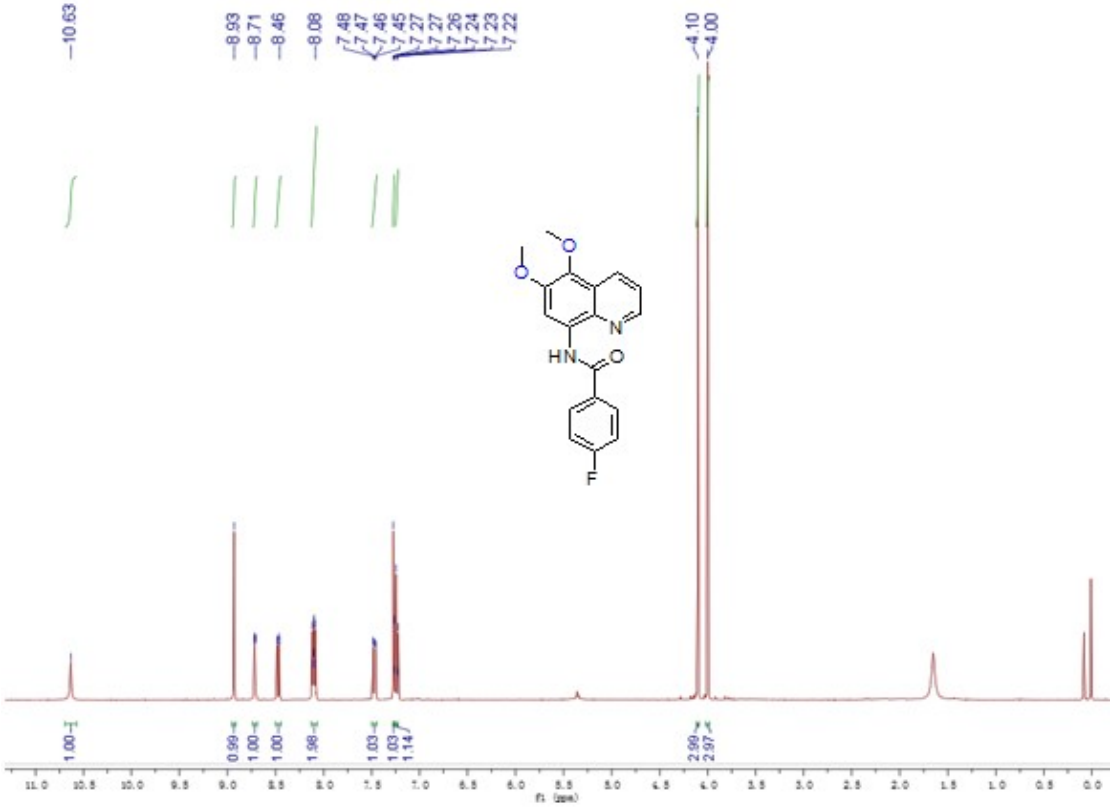
4. Copies of ^{1}H and ^{13}C Spectra

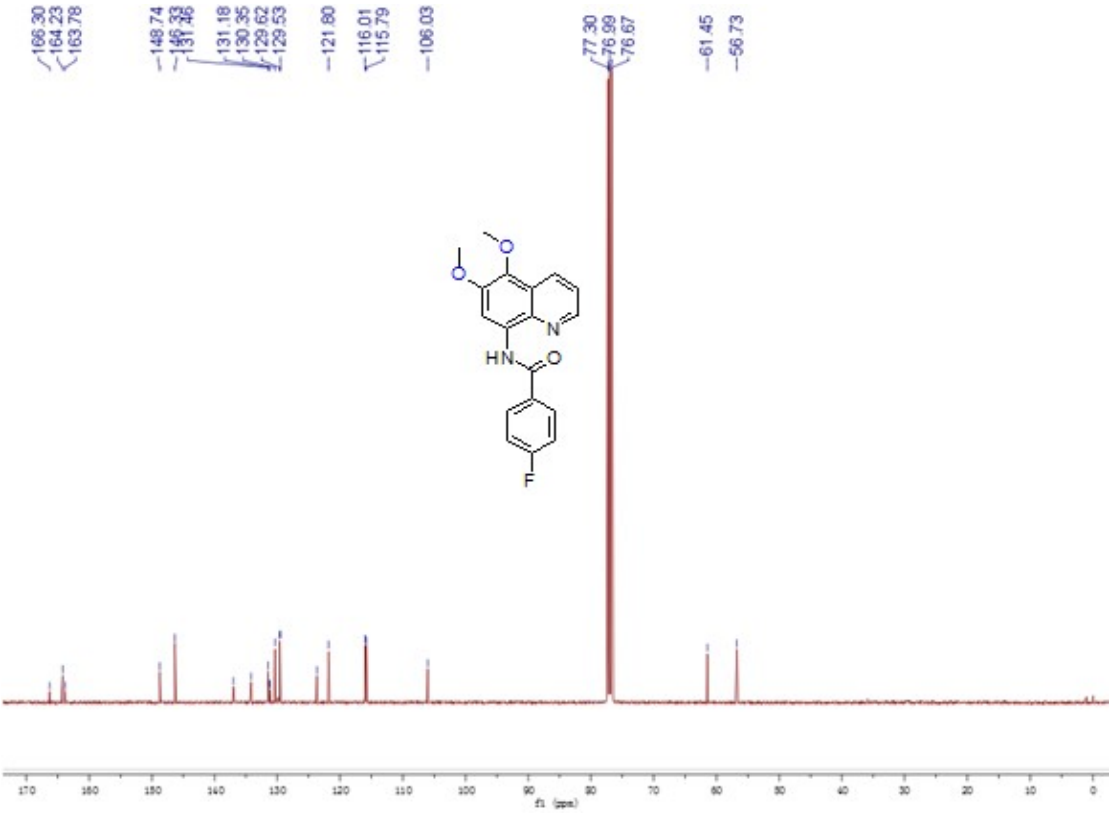
^{1}H and ^{13}C NMR Spectra for **5a**



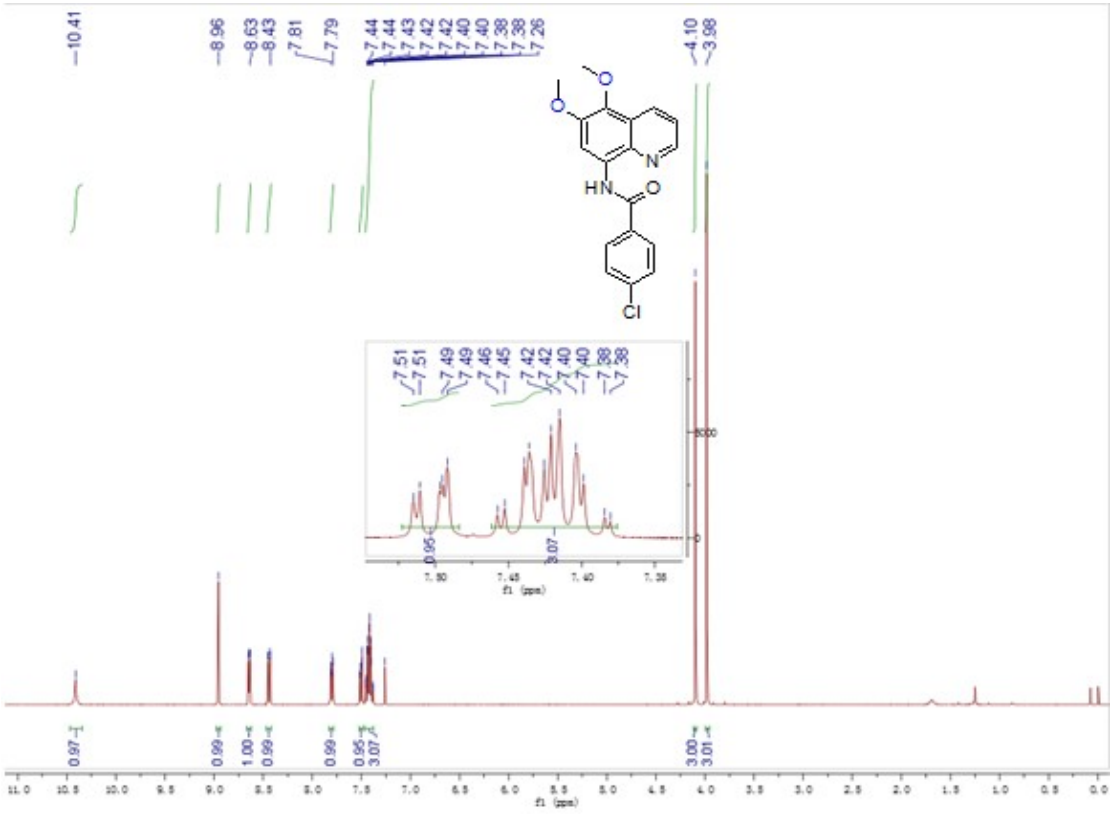


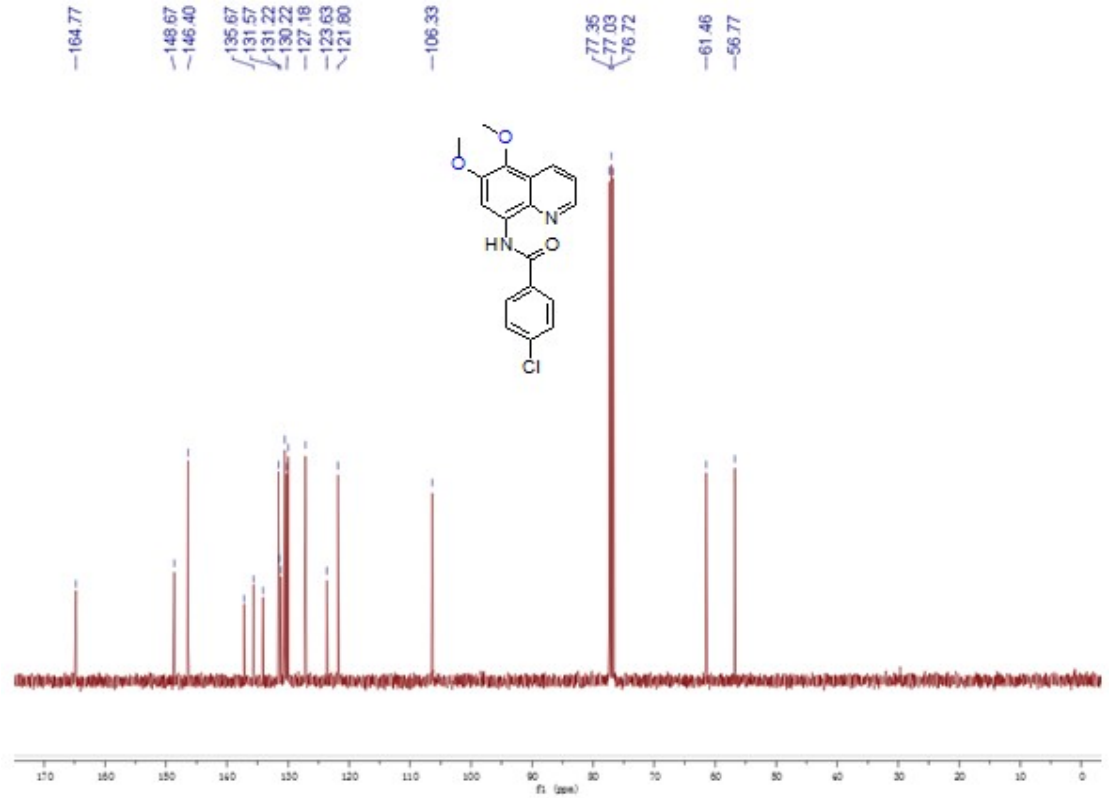
^{1}H and ^{13}C NMR Spectra for **5b**



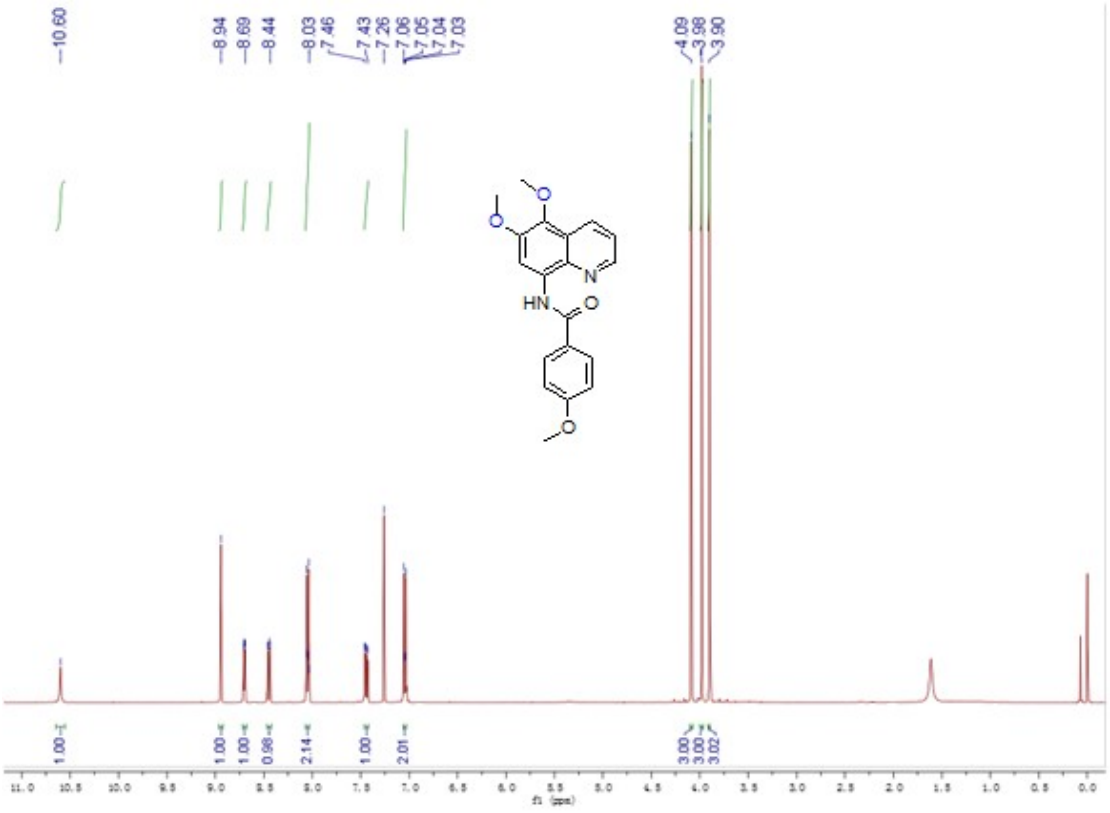


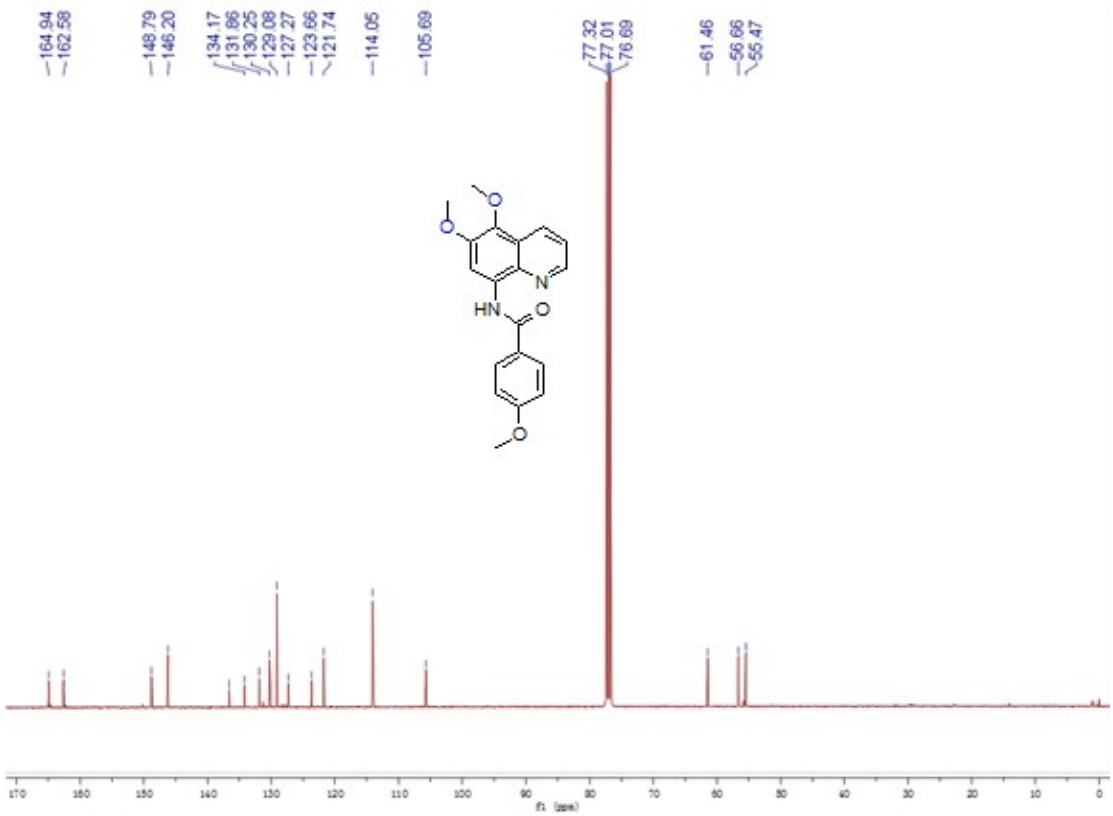
^{1}H and ^{13}C NMR Spectra for **5c**



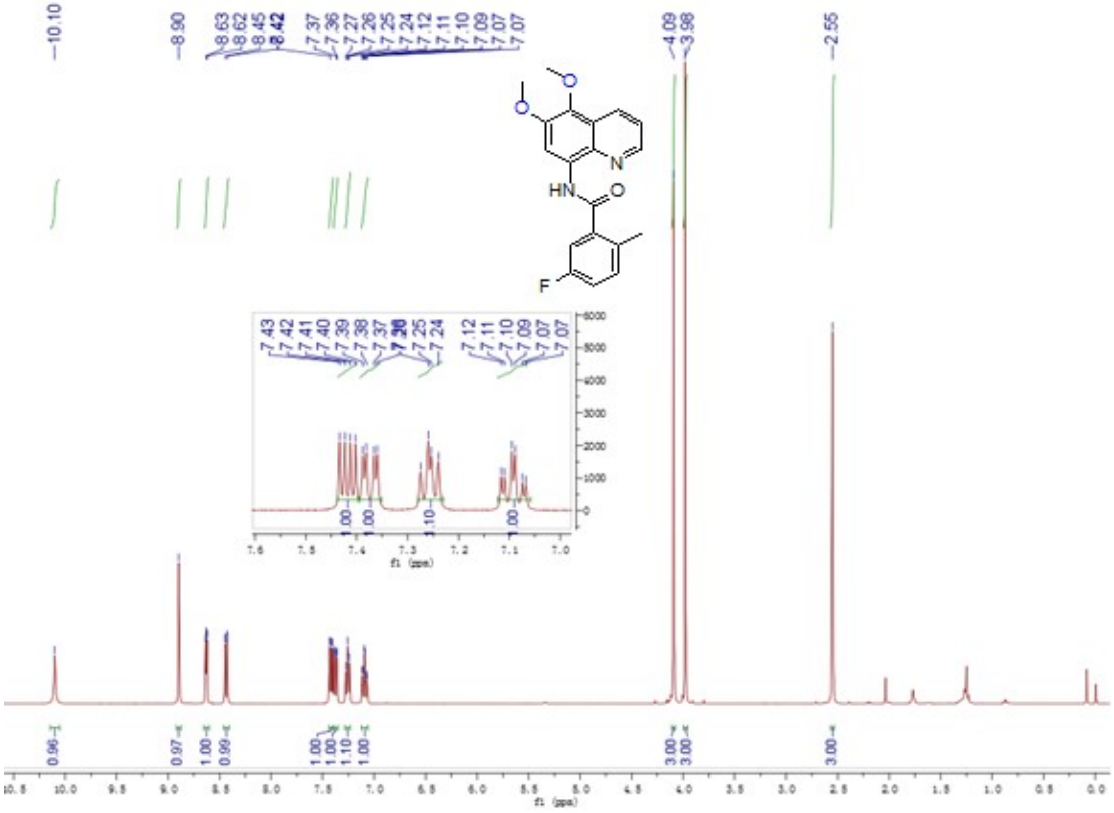


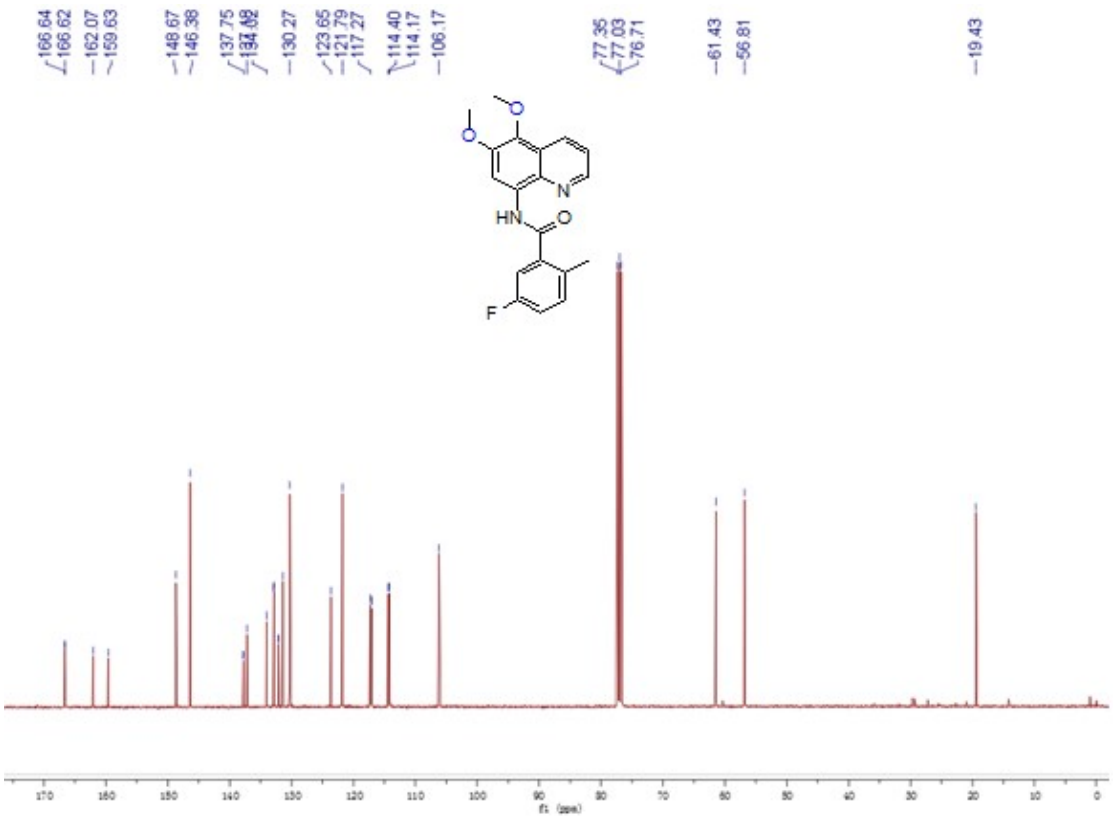
^{1}H and ^{13}C NMR Spectra for **5d**



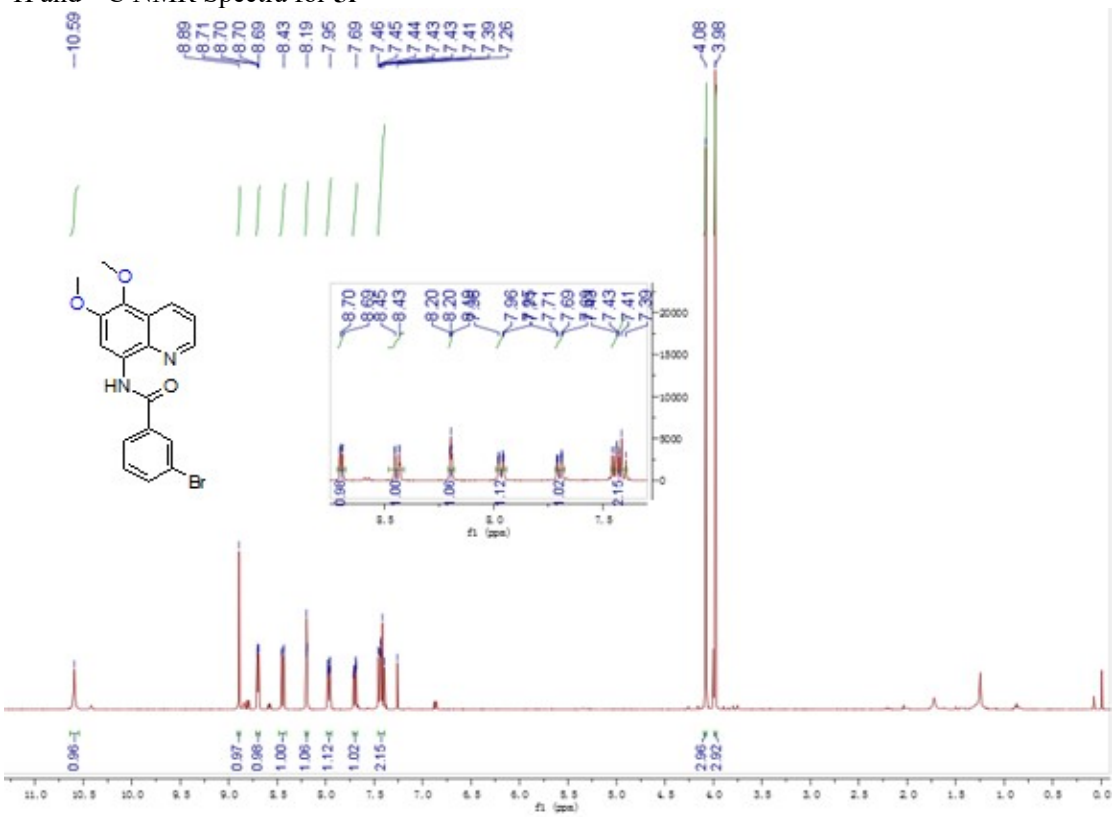


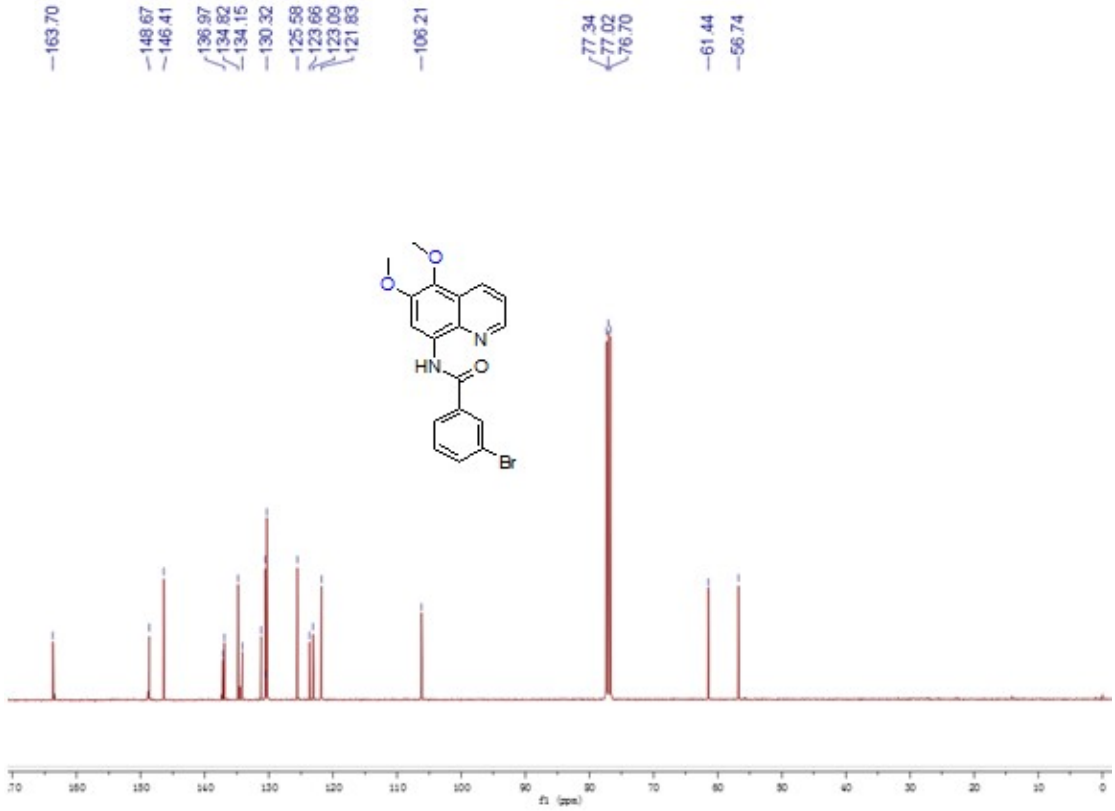
^{1}H and ^{13}C NMR Spectra for **5e**



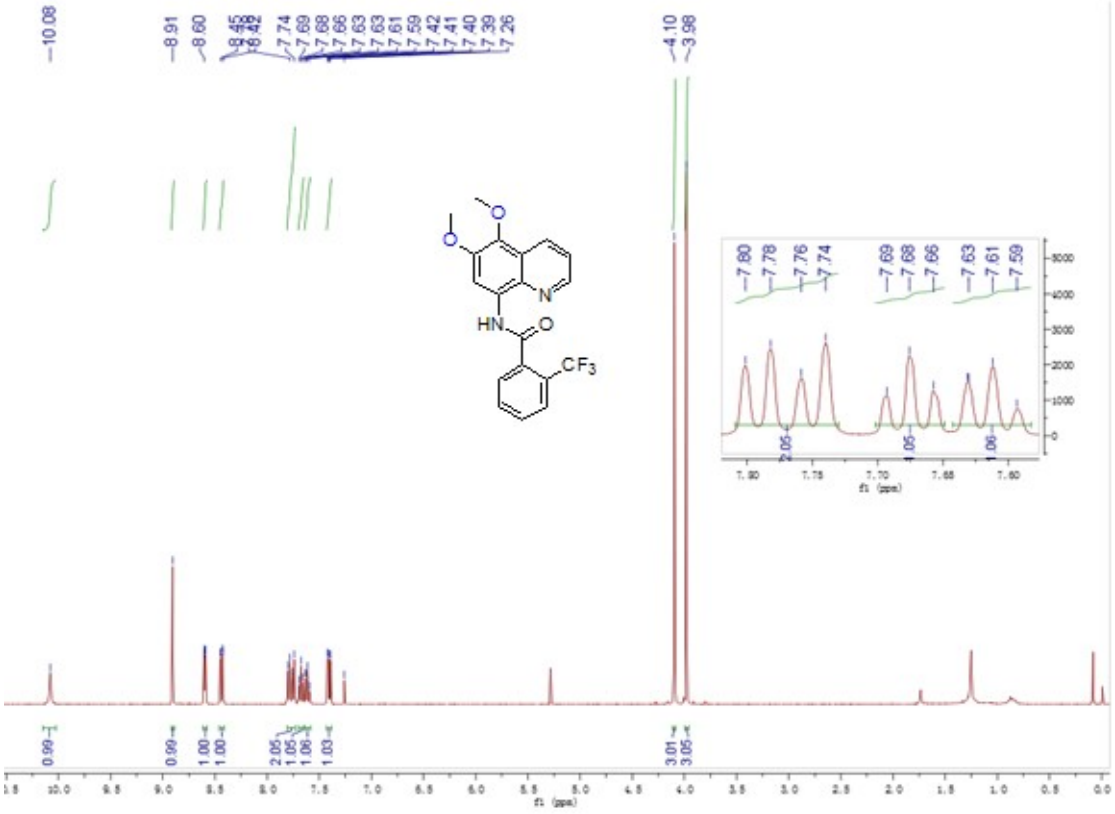


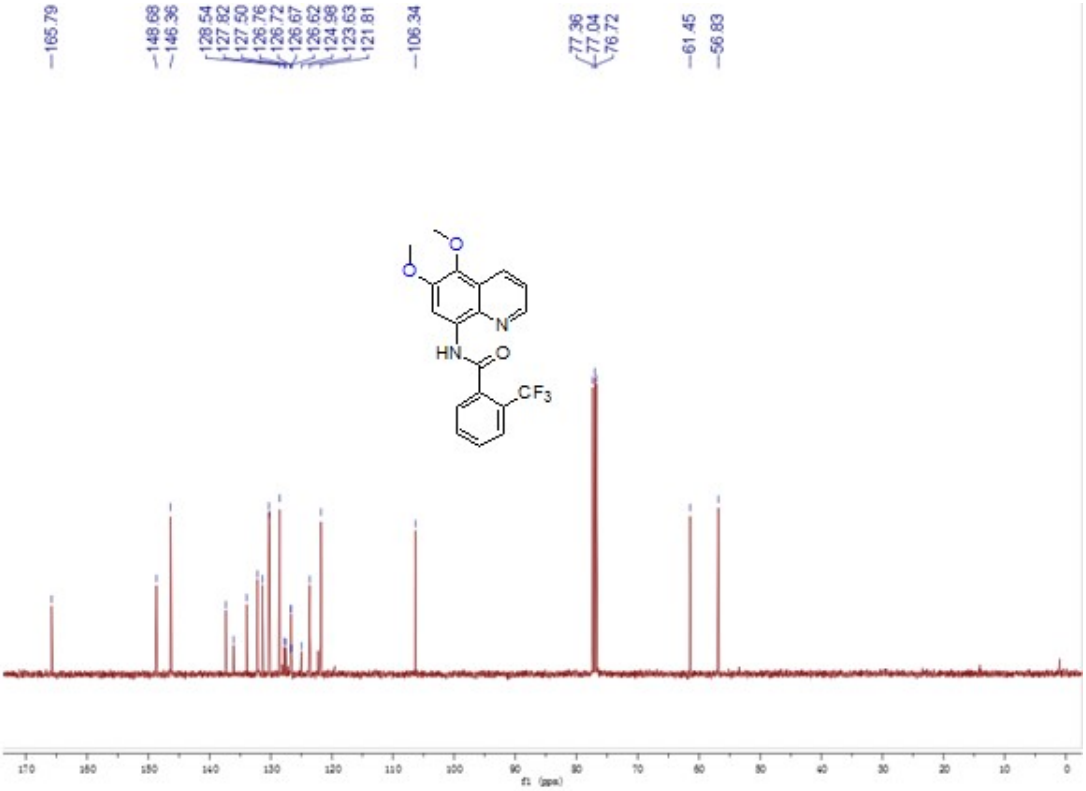
^{1}H and ^{13}C NMR Spectra for **5f**



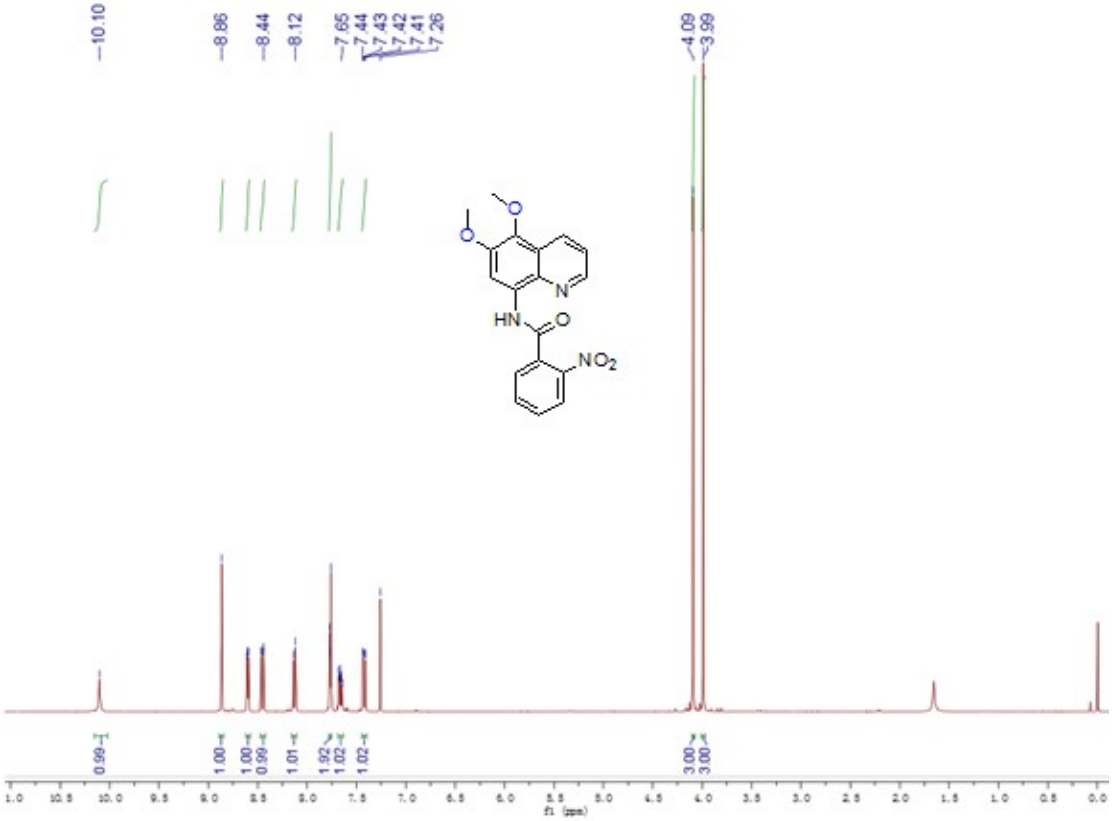


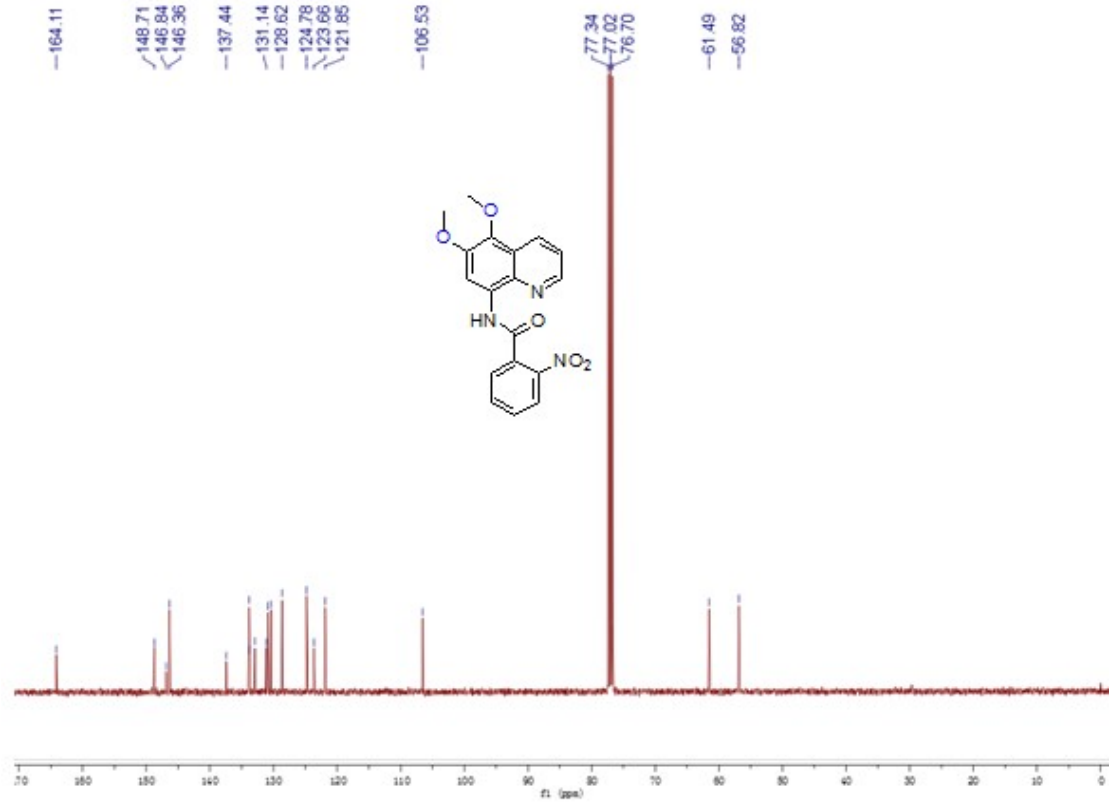
^{1}H and ^{13}C NMR Spectra for **5g**



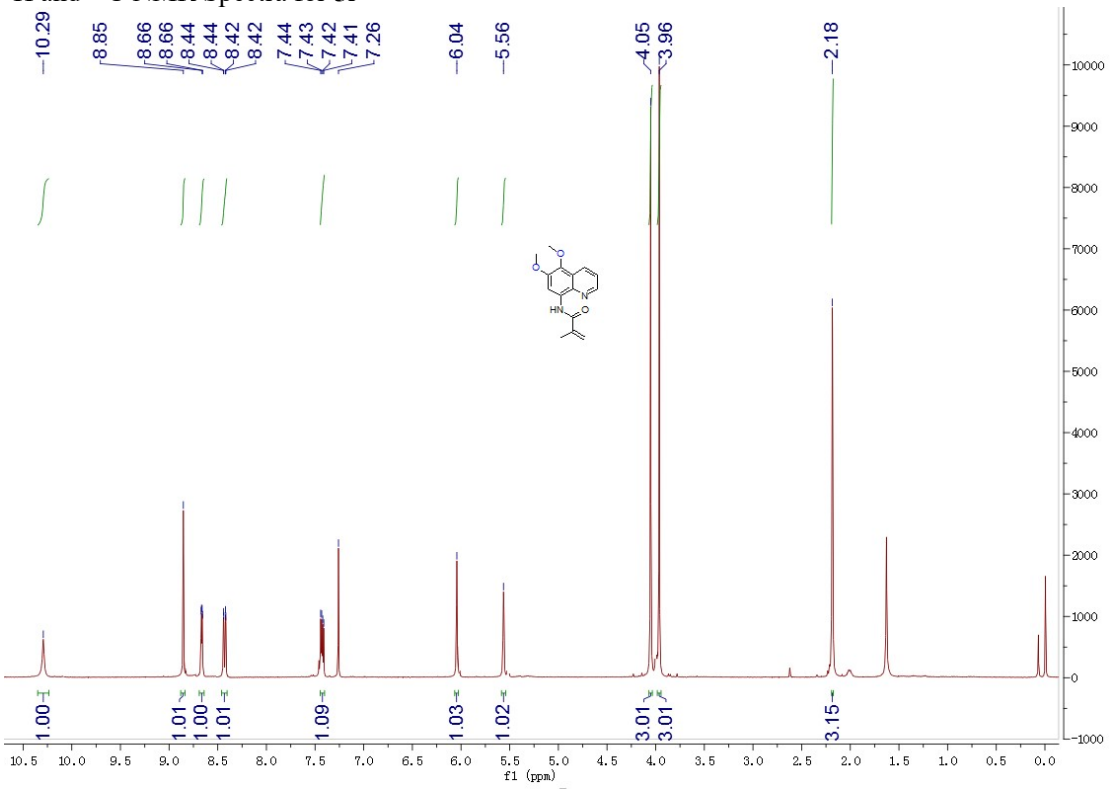


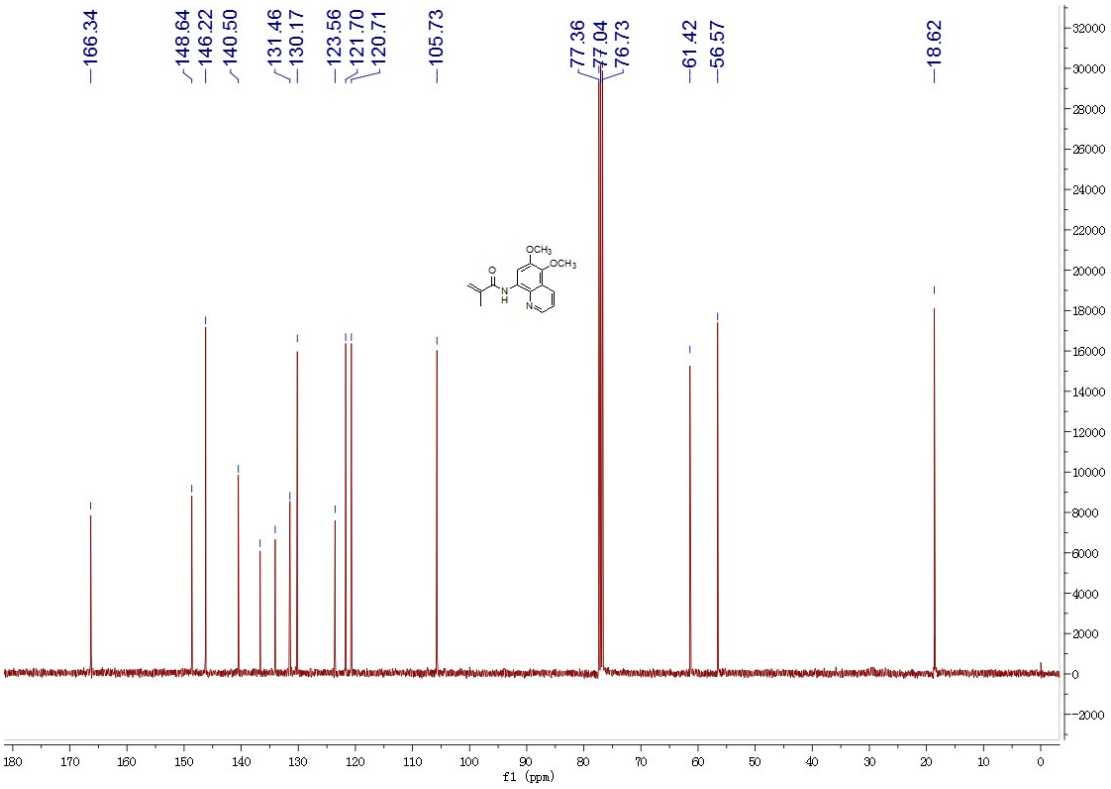
^{1}H and ^{13}C NMR Spectra for **5h**



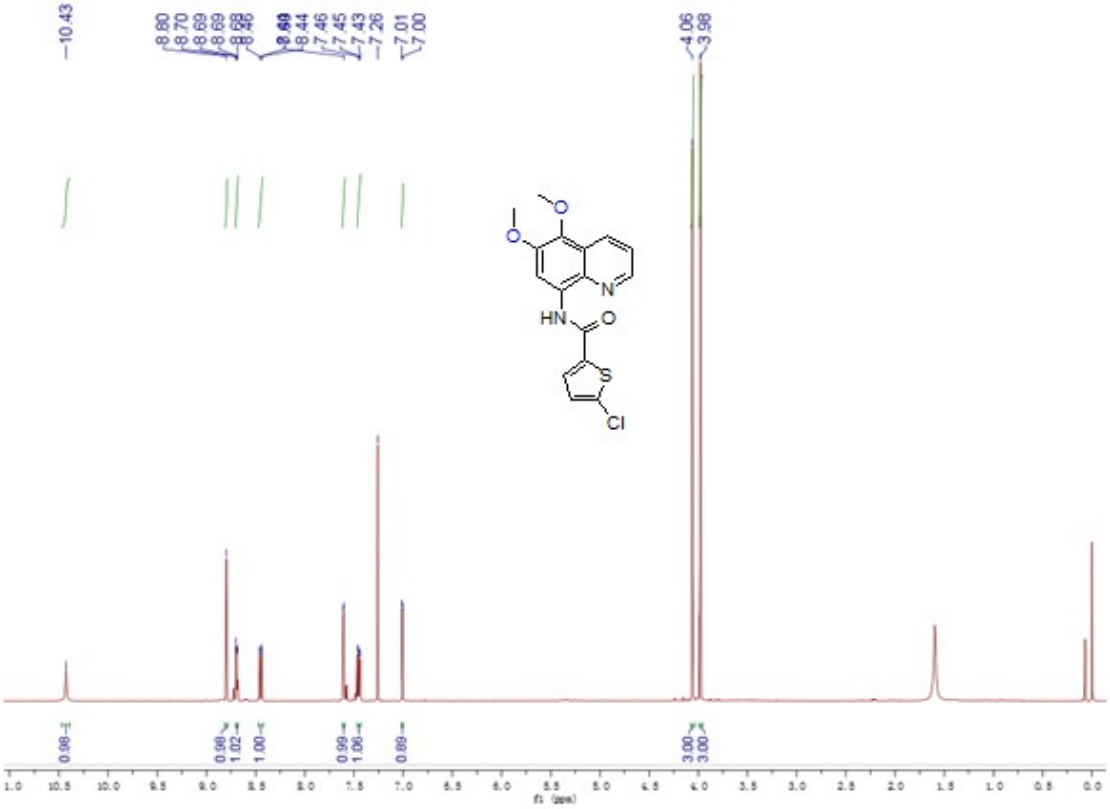


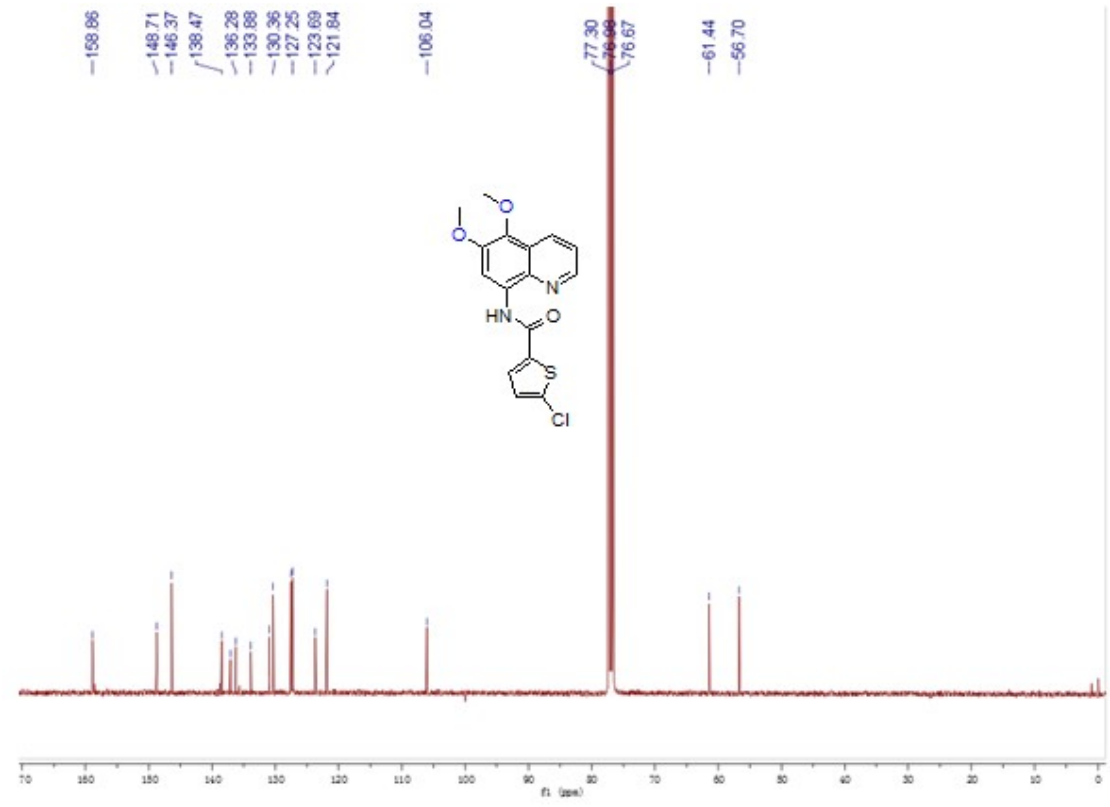
^{1}H and ^{13}C NMR Spectra for **5i**



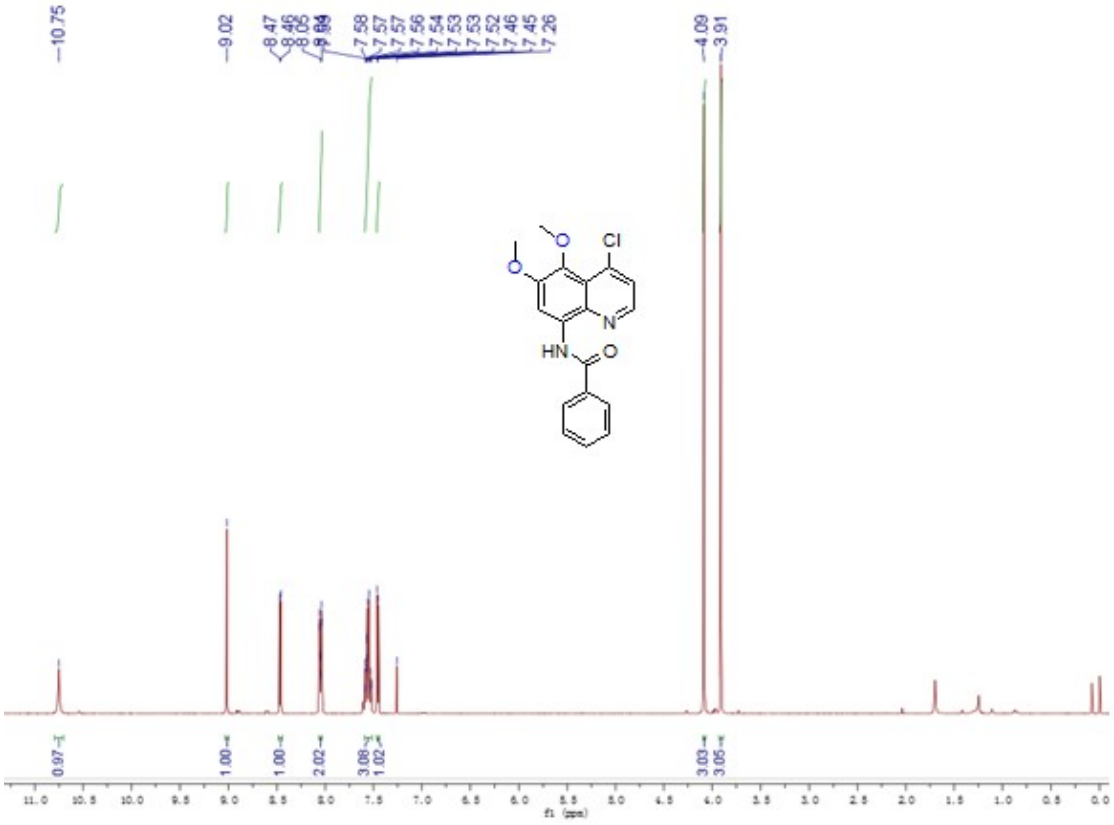


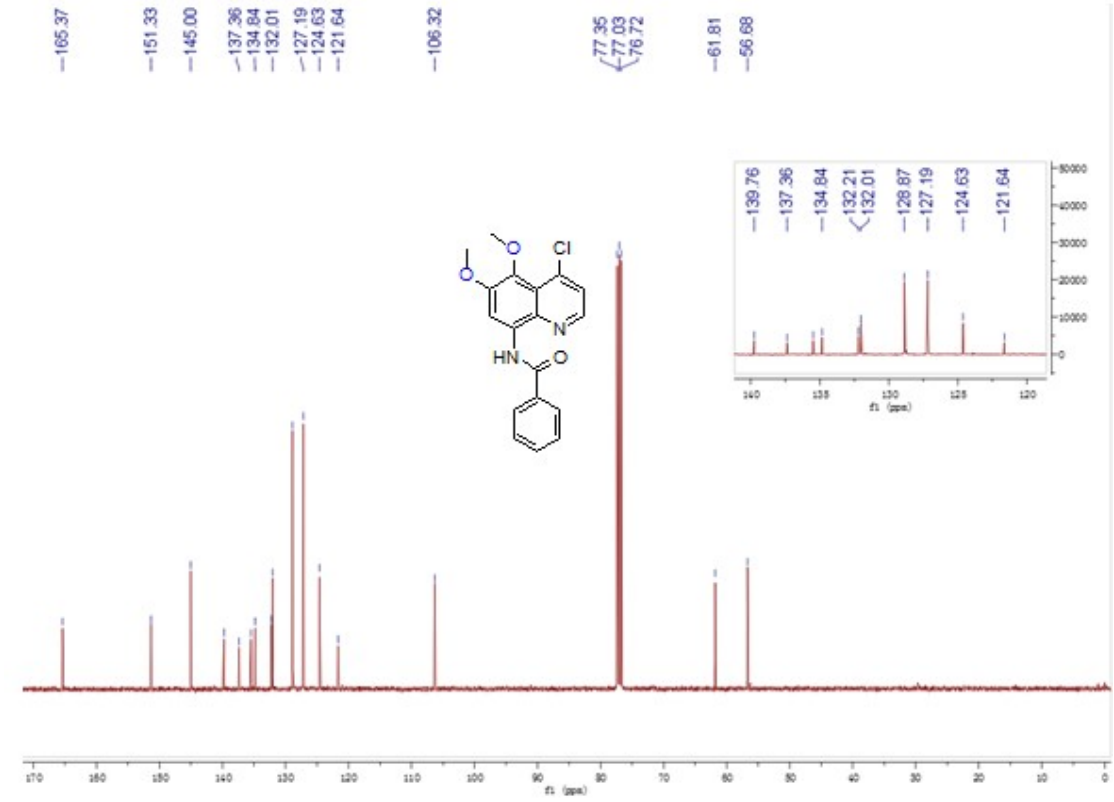
^{1}H and ^{13}C NMR Spectra for **5j**



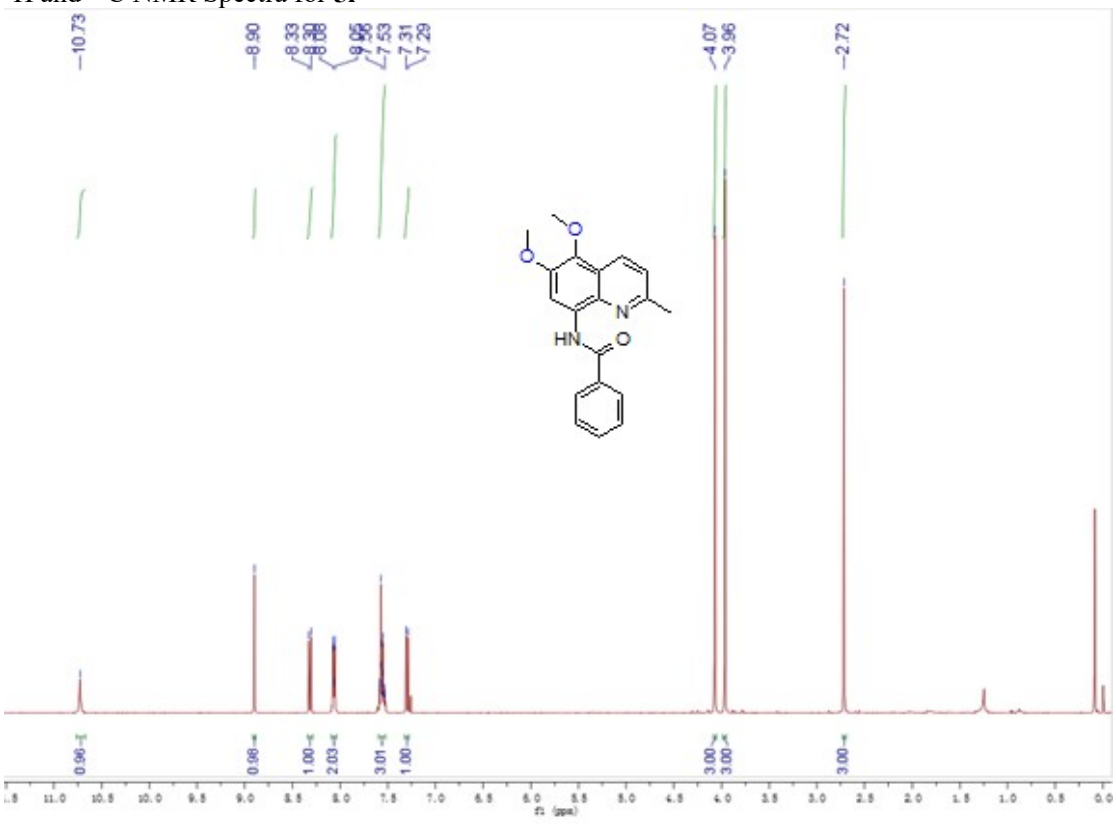


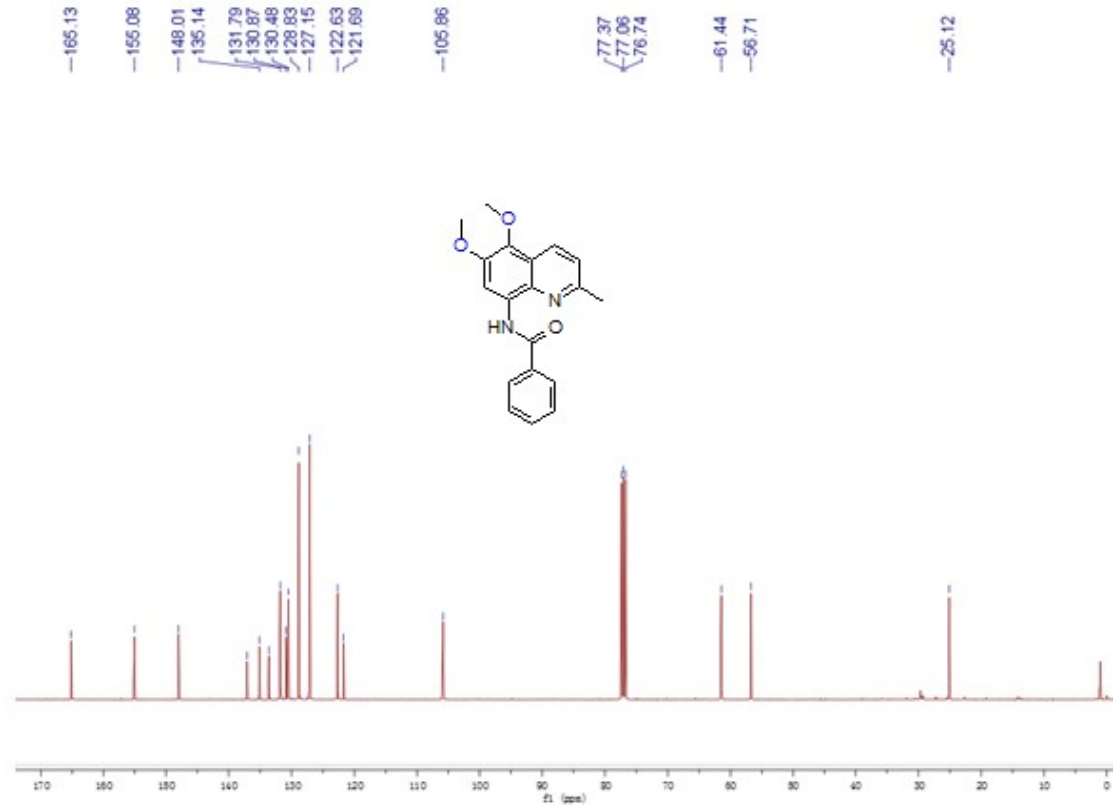
^{1}H and ^{13}C NMR Spectra for **5k**



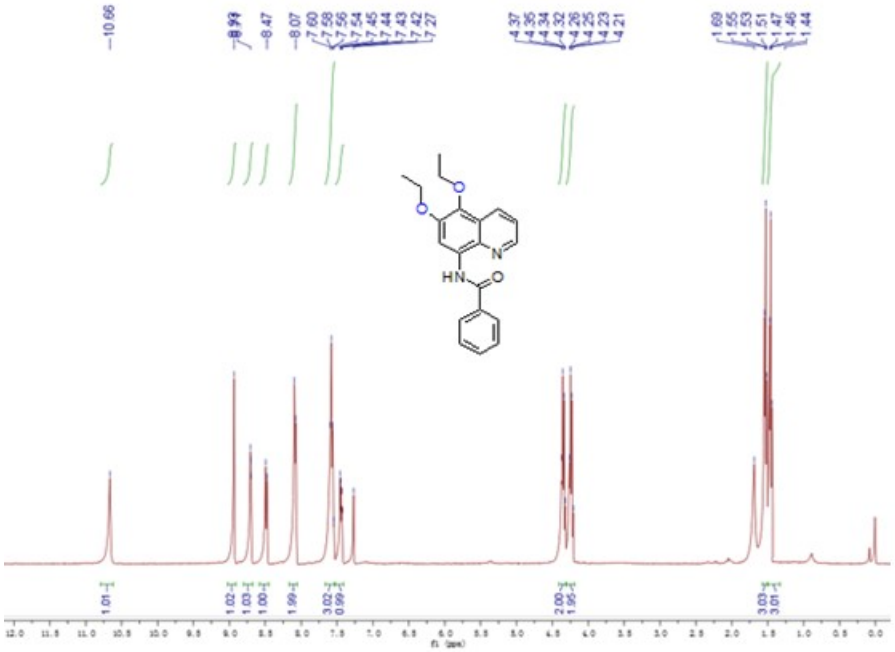


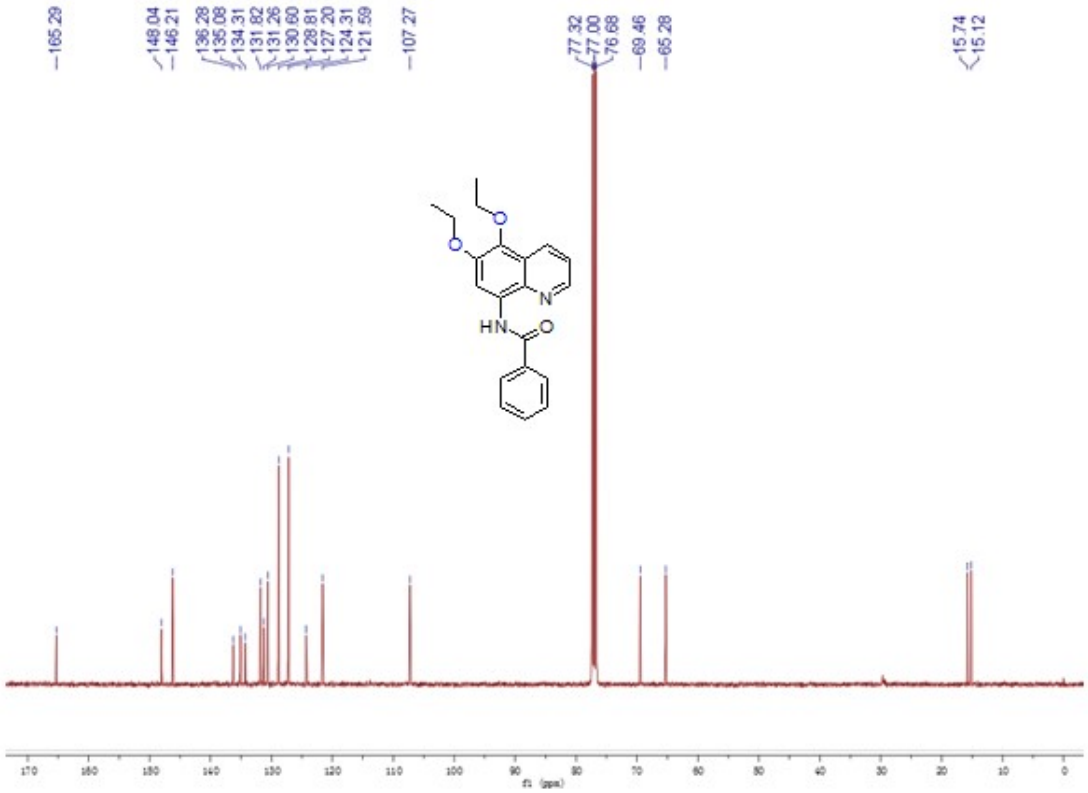
^{1}H and ^{13}C NMR Spectra for **5l**



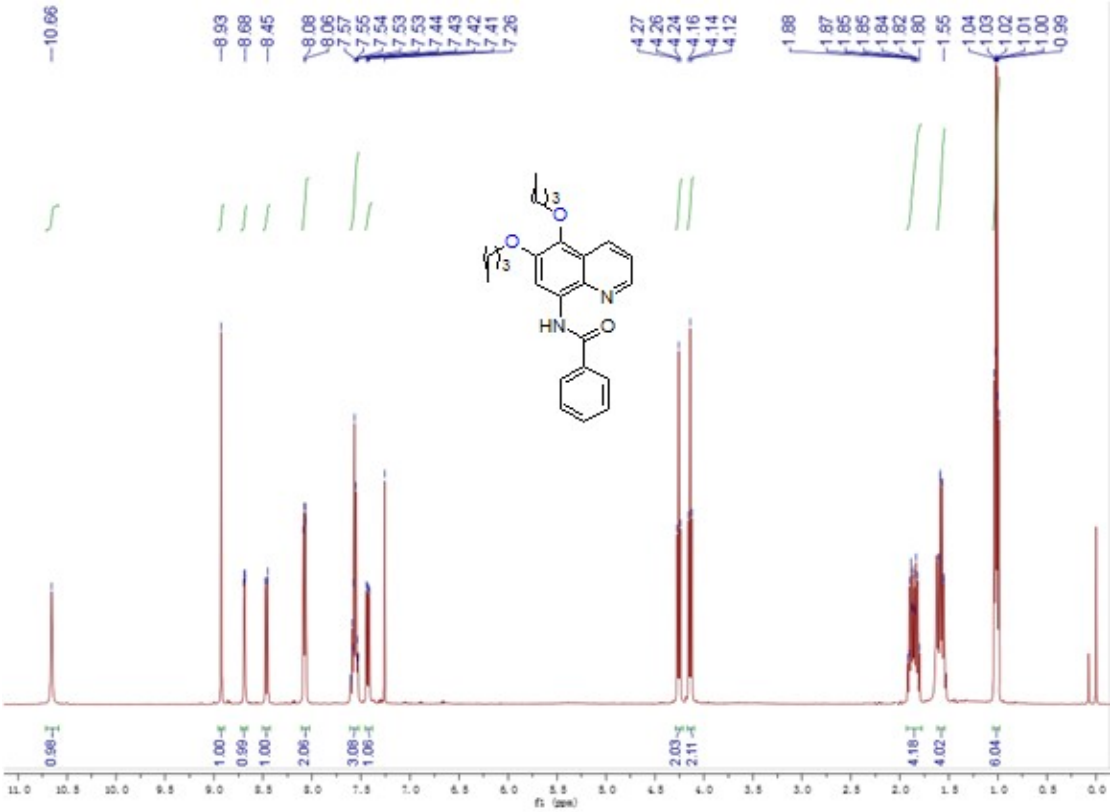


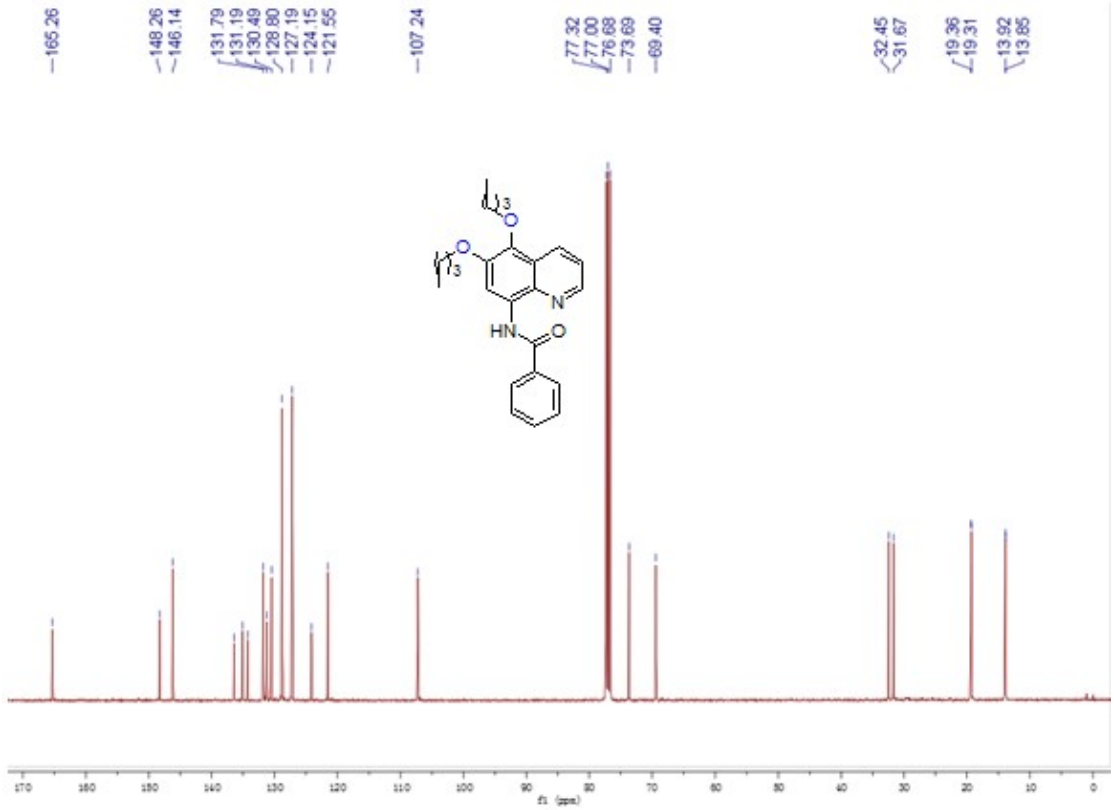
^{1}H and ^{13}C NMR Spectra for **5m**



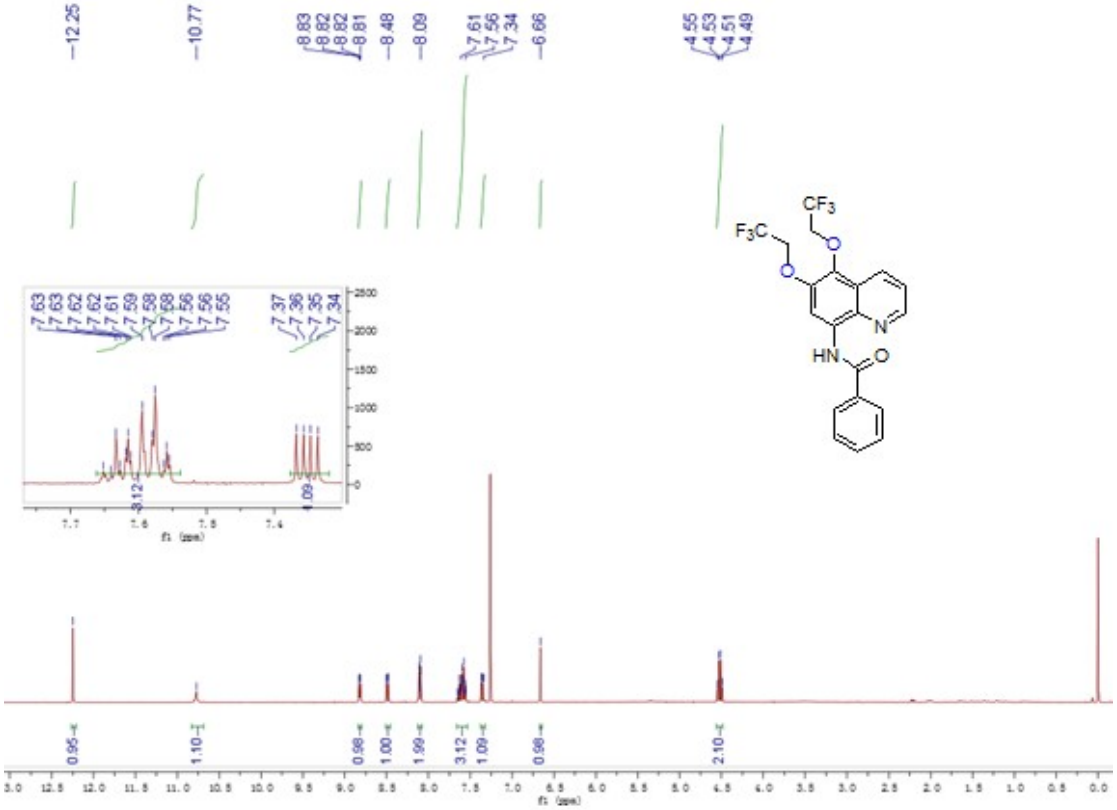


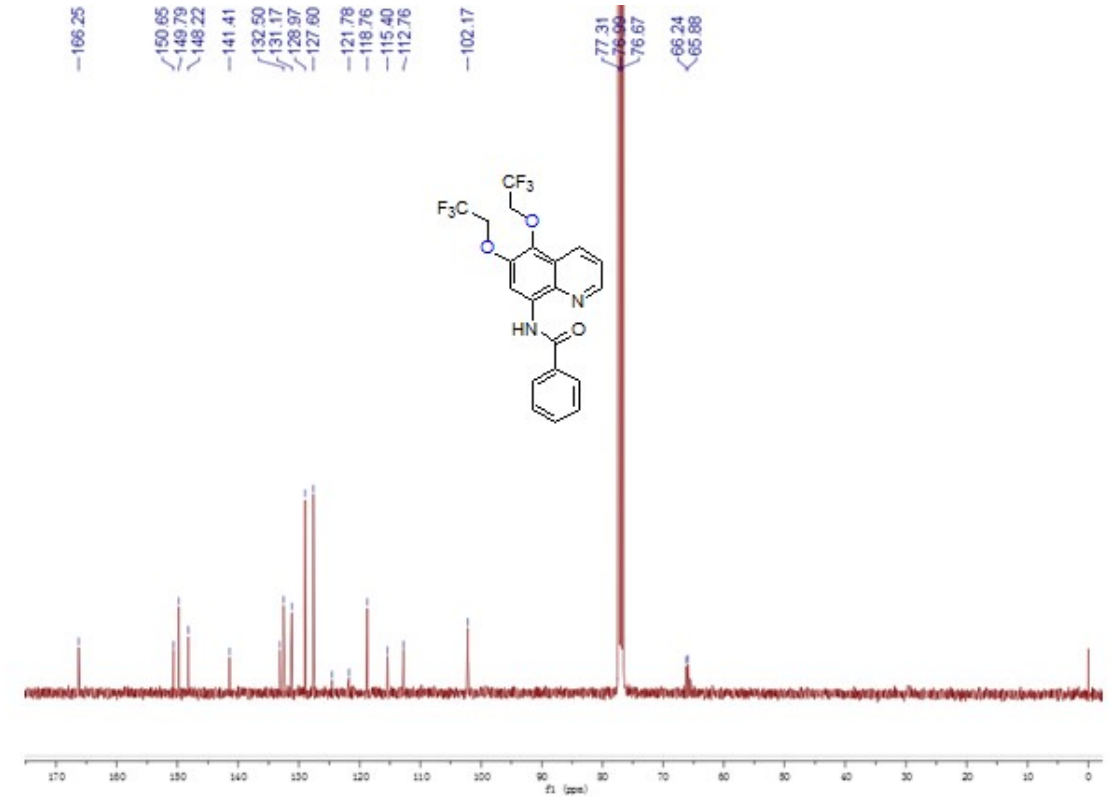
^{1}H and ^{13}C NMR Spectra for **5n**



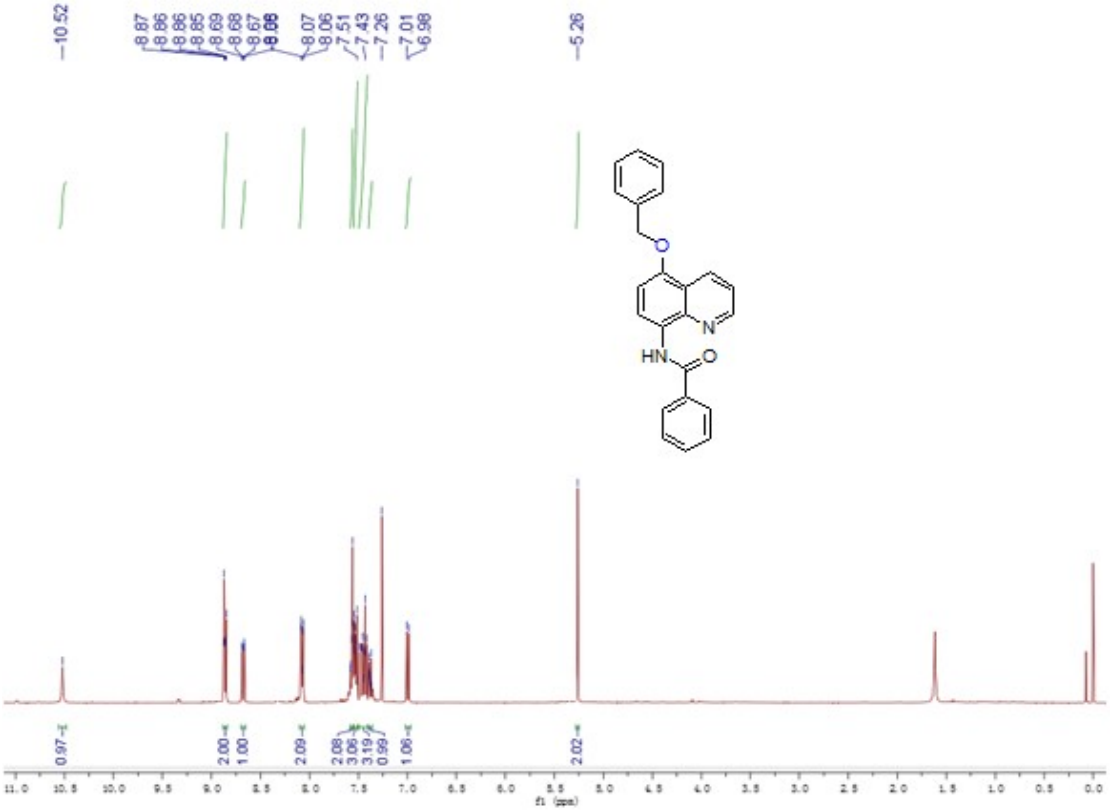


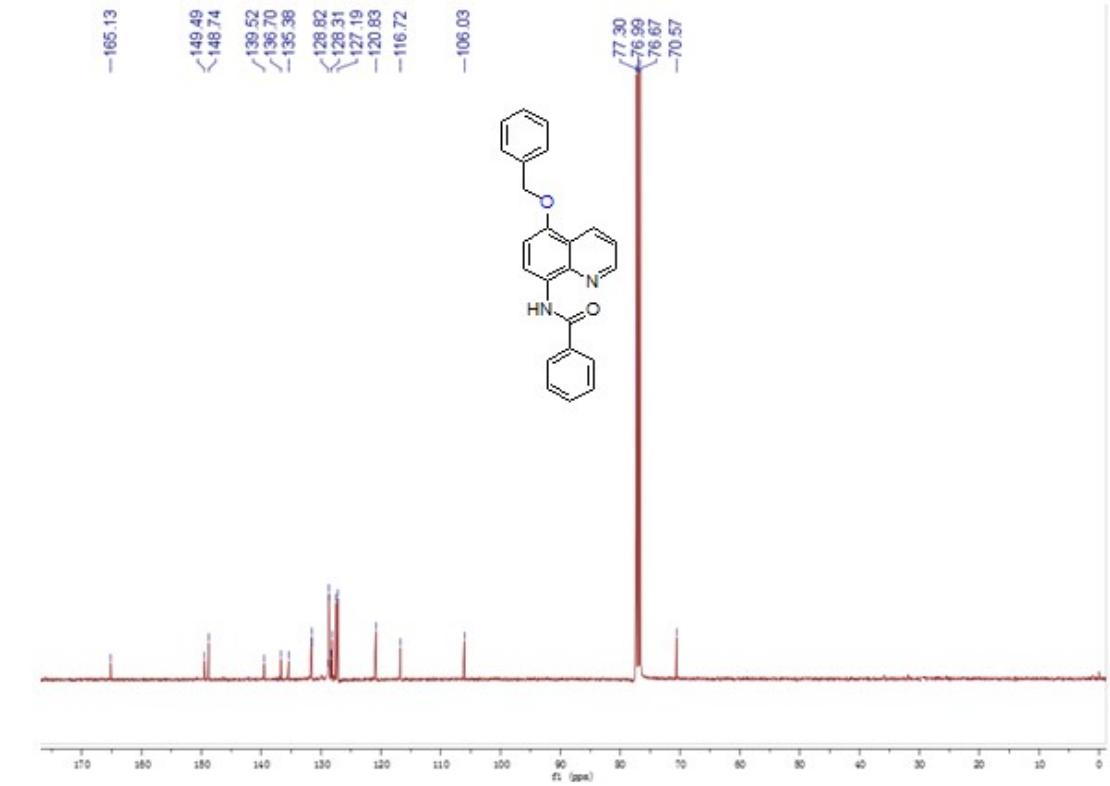
^{1}H and ^{13}C NMR Spectra for **5o**



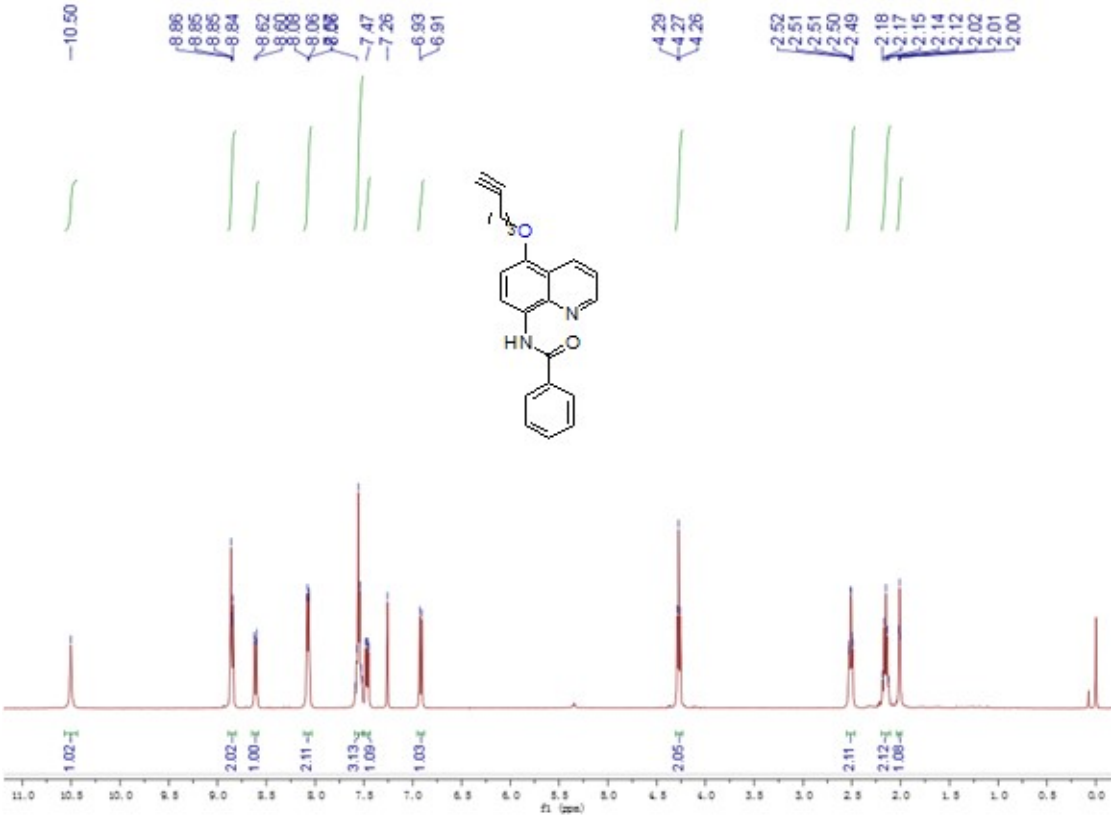


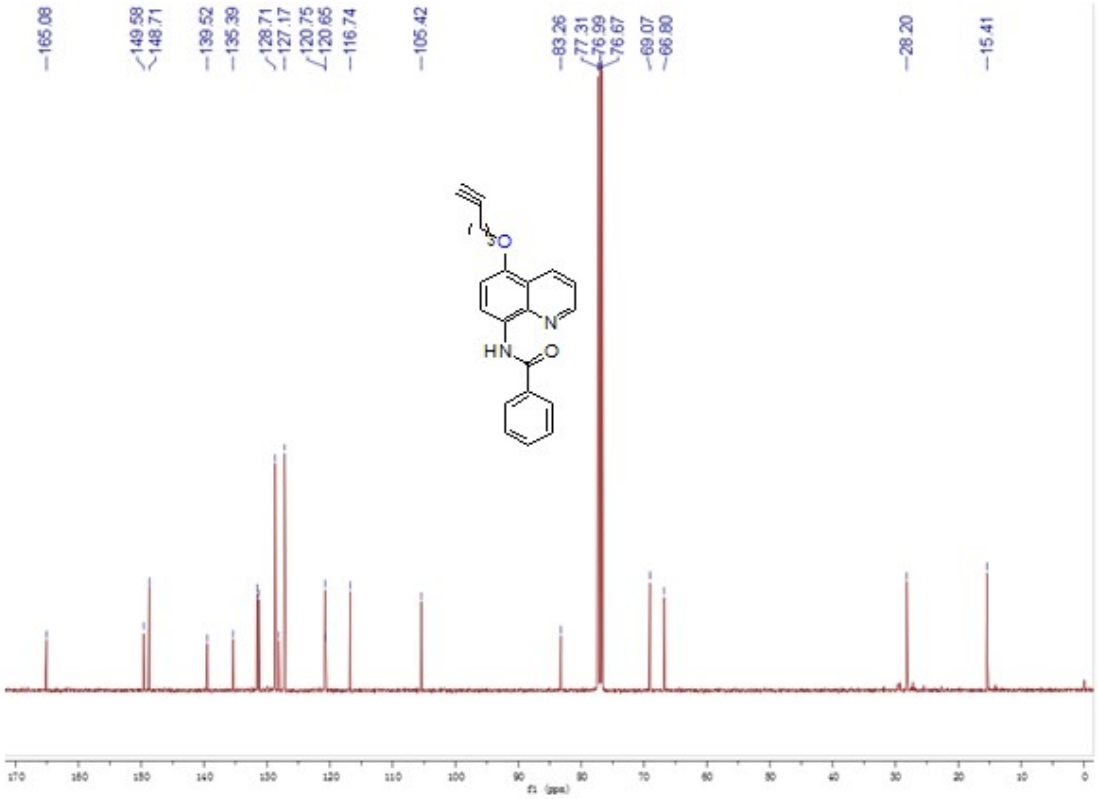
^{1}H and ^{13}C NMR Spectra for **6-1**



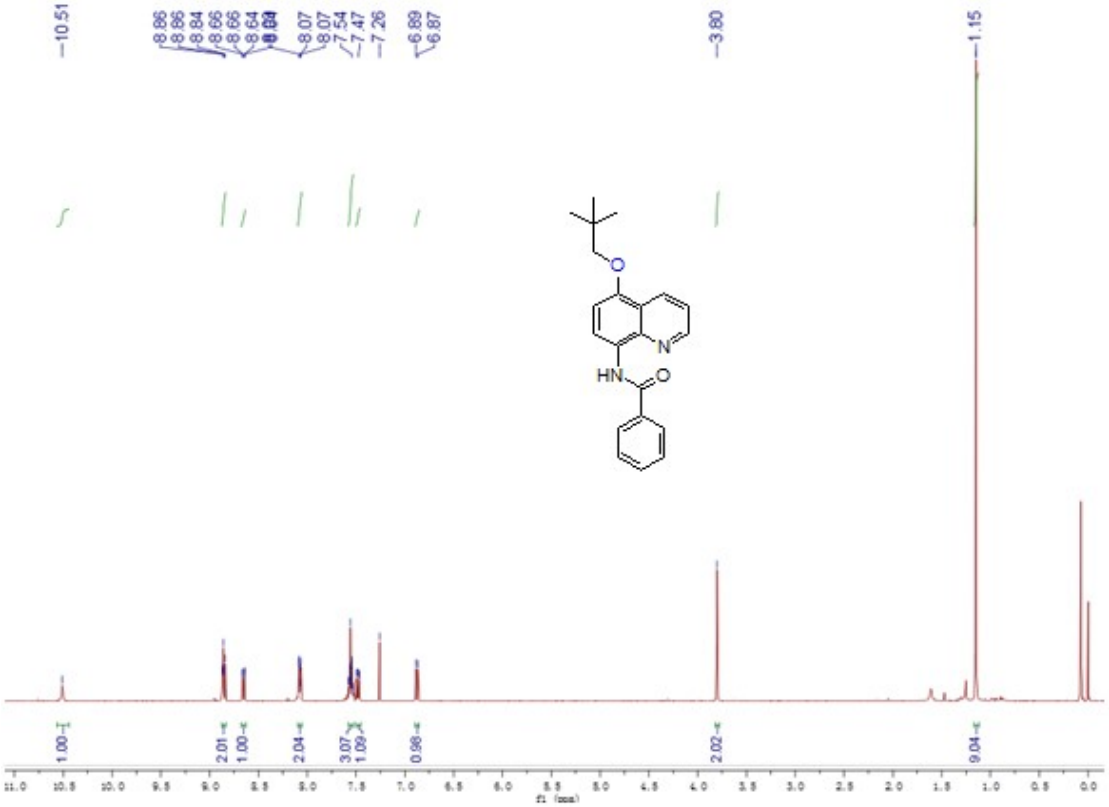


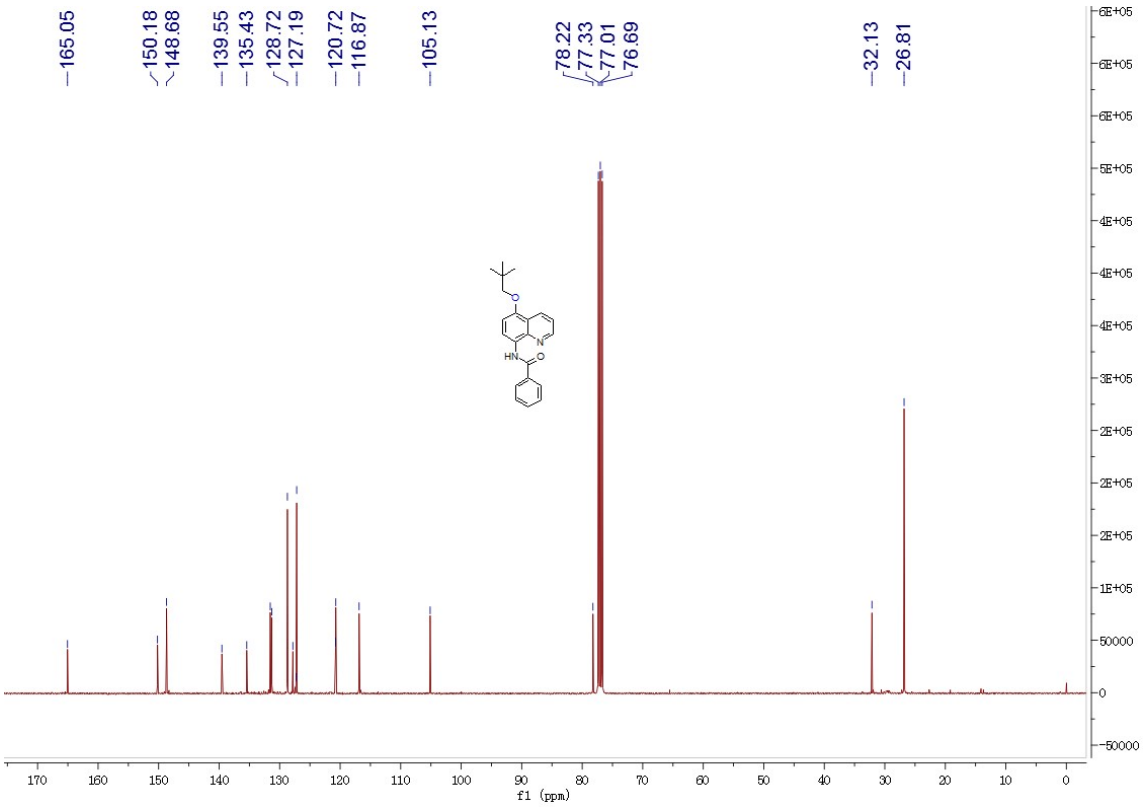
^{1}H and ^{13}C NMR Spectra for **6-2**



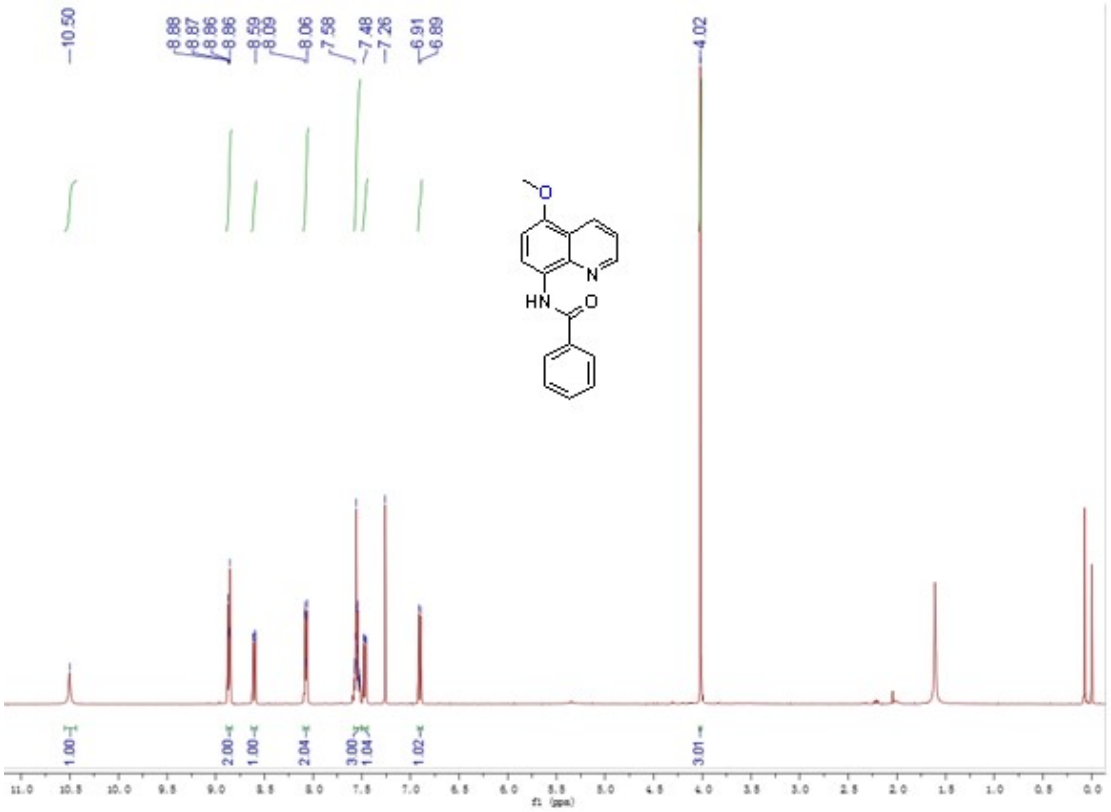


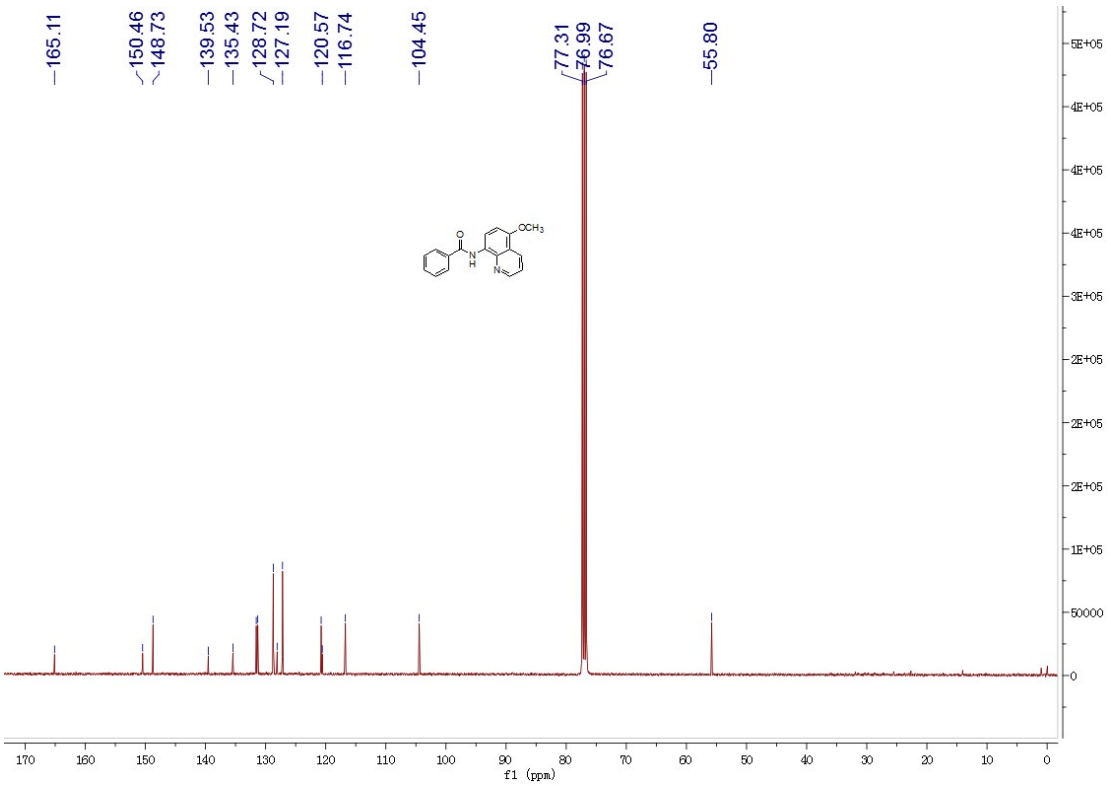
^{1}H and ^{13}C NMR Spectra for **6-3**



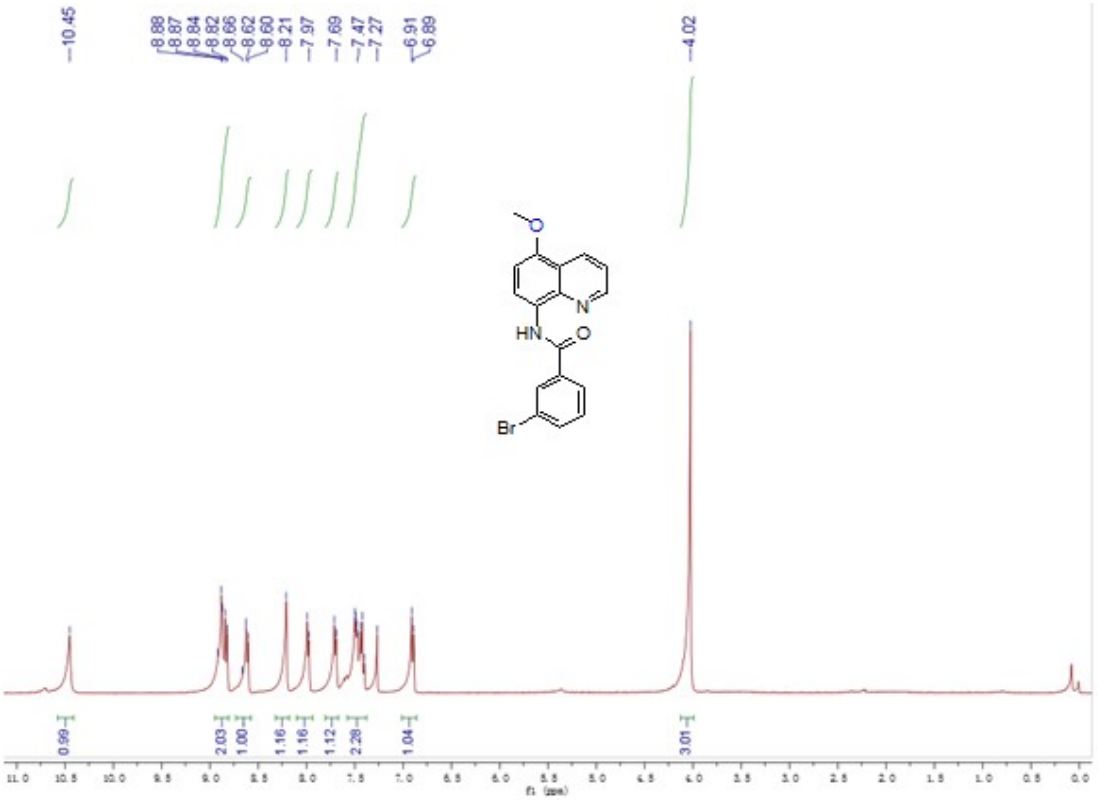


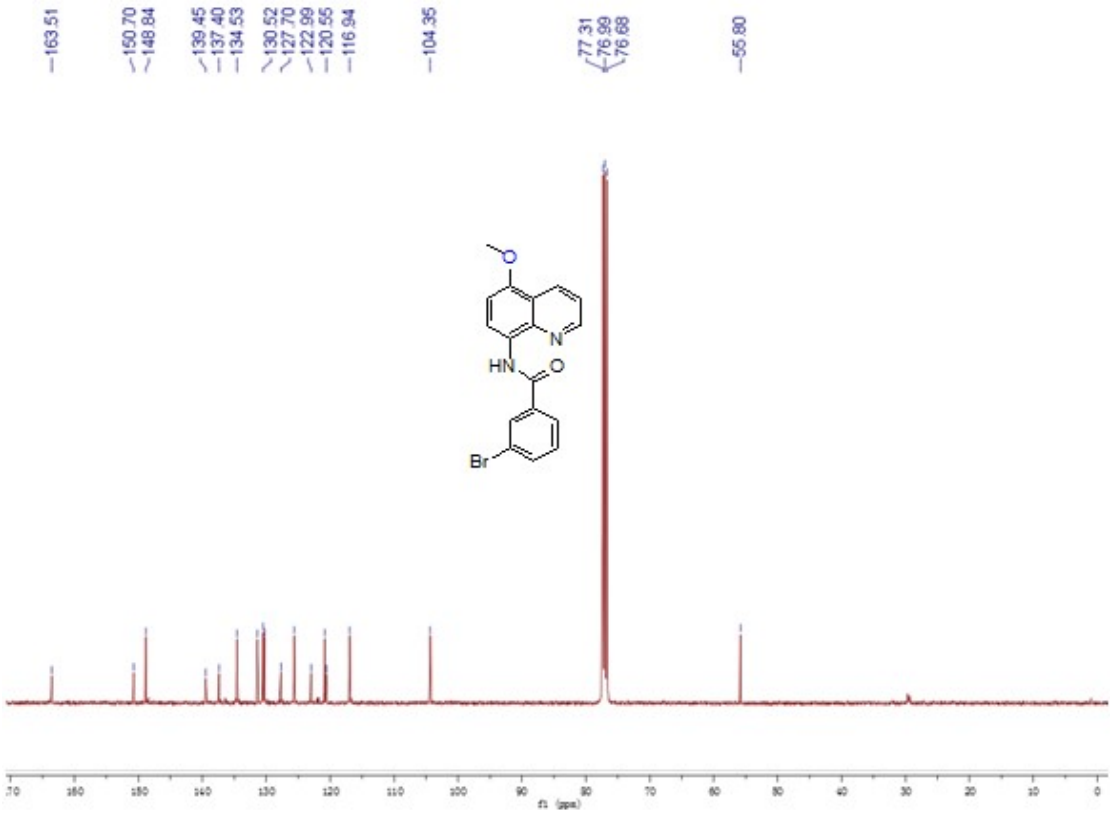
^{1}H and ^{13}C NMR Spectra for **6a**



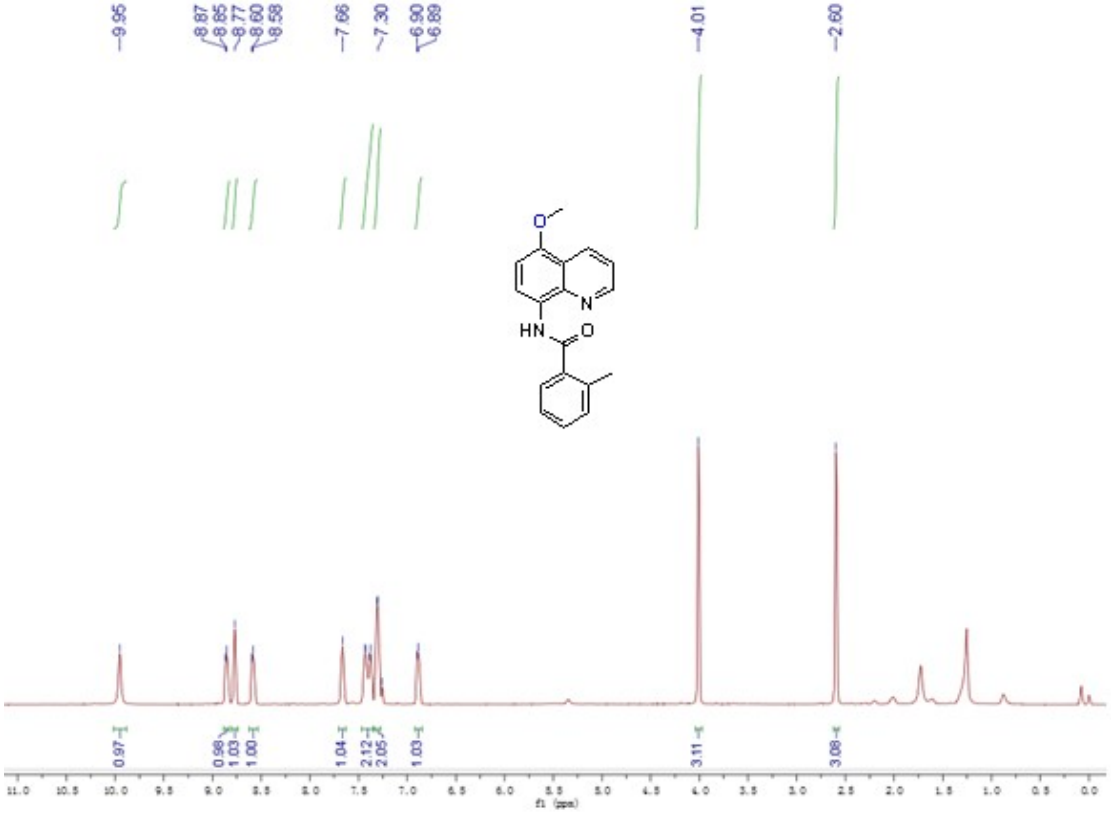


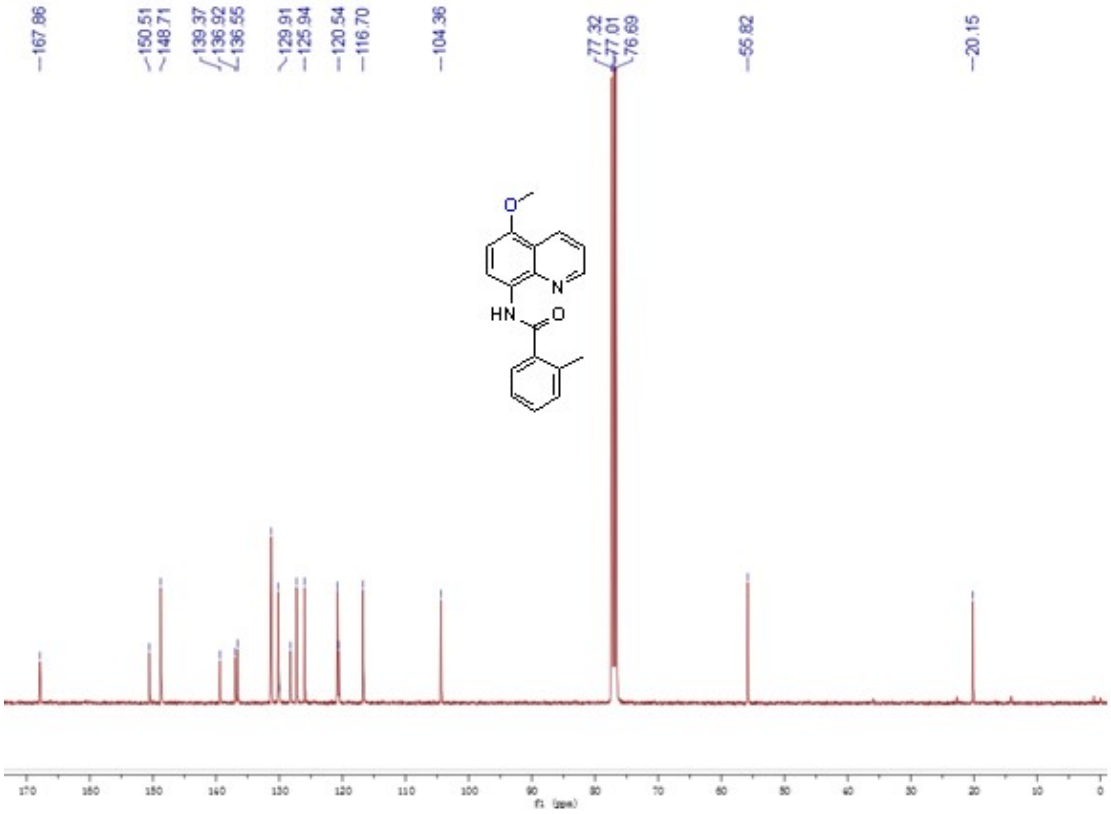
^{1}H and ^{13}C NMR Spectra for **6b**



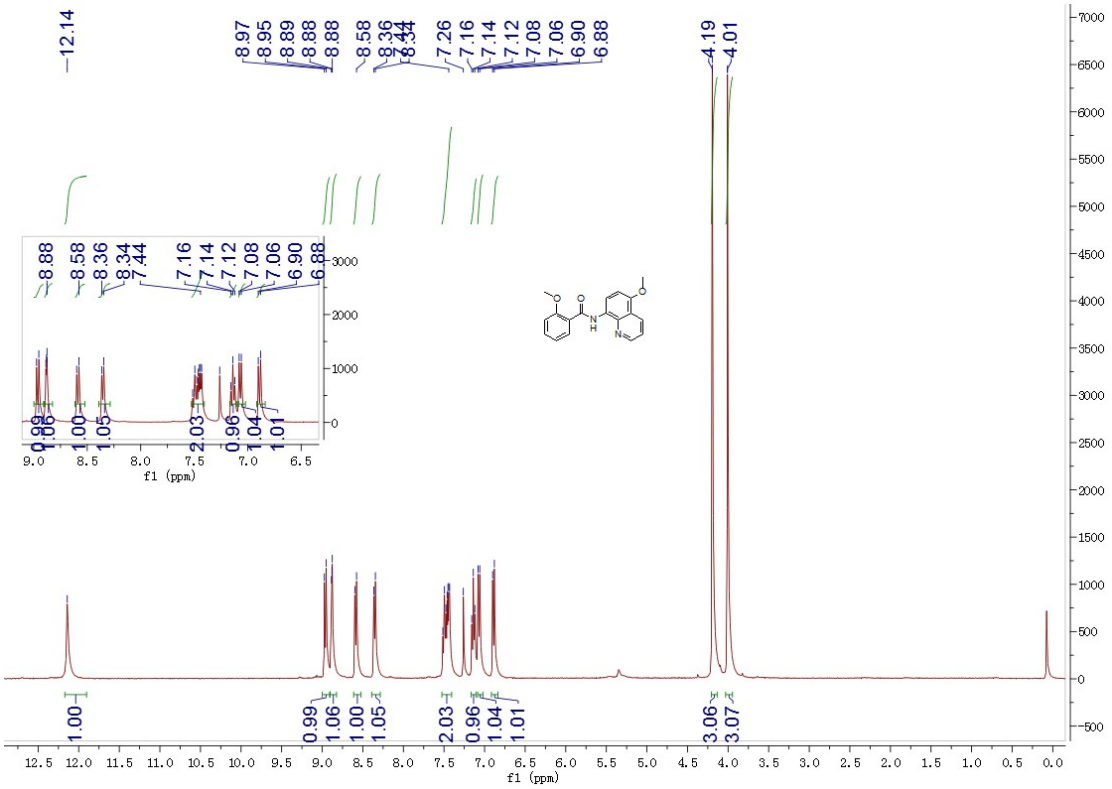


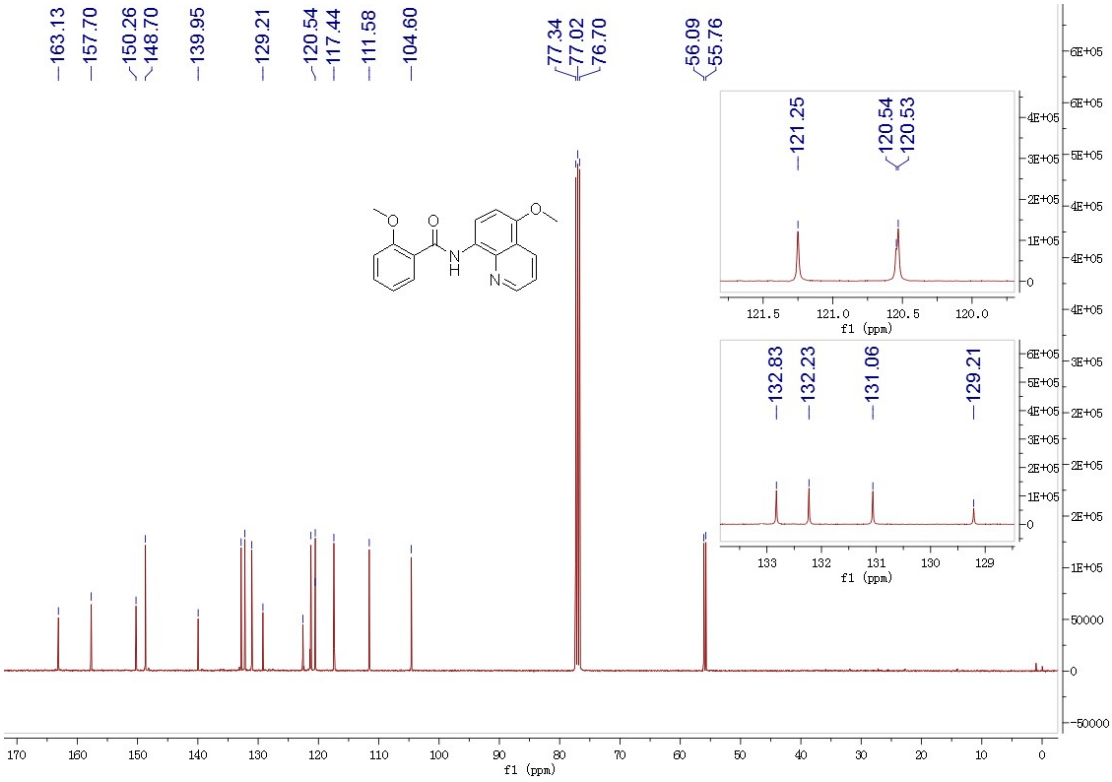
^{1}H and ^{13}C NMR Spectra for **6c**



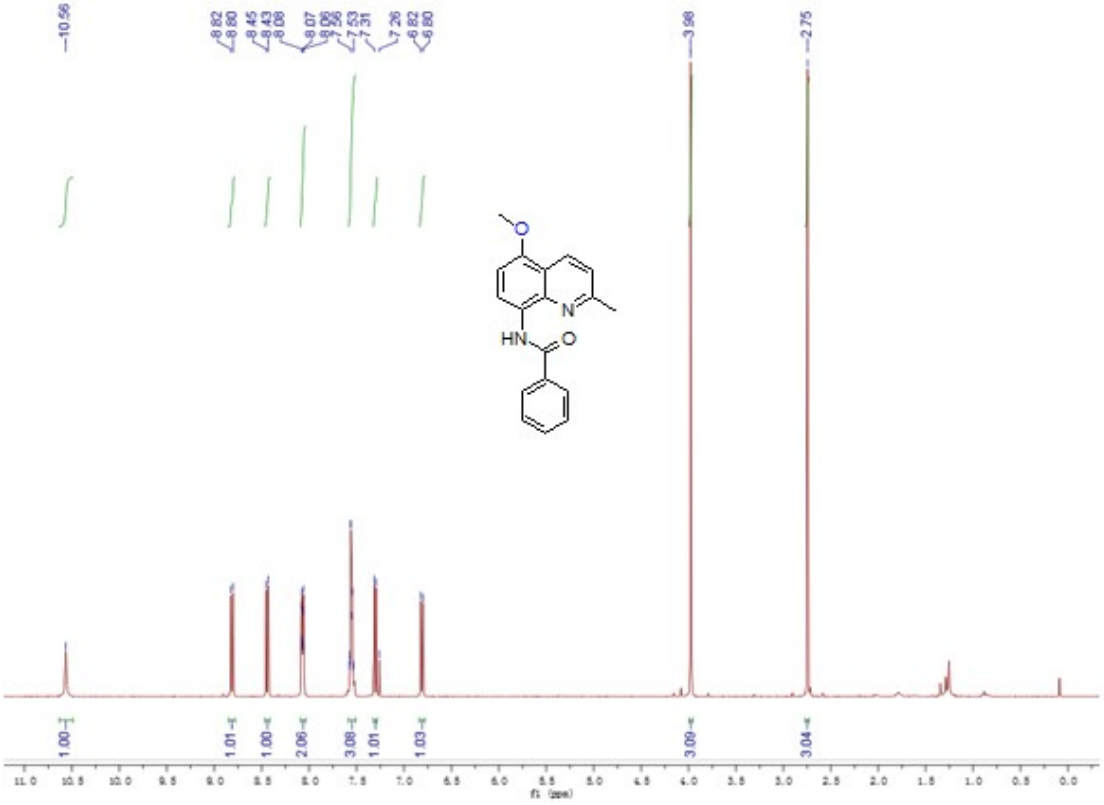


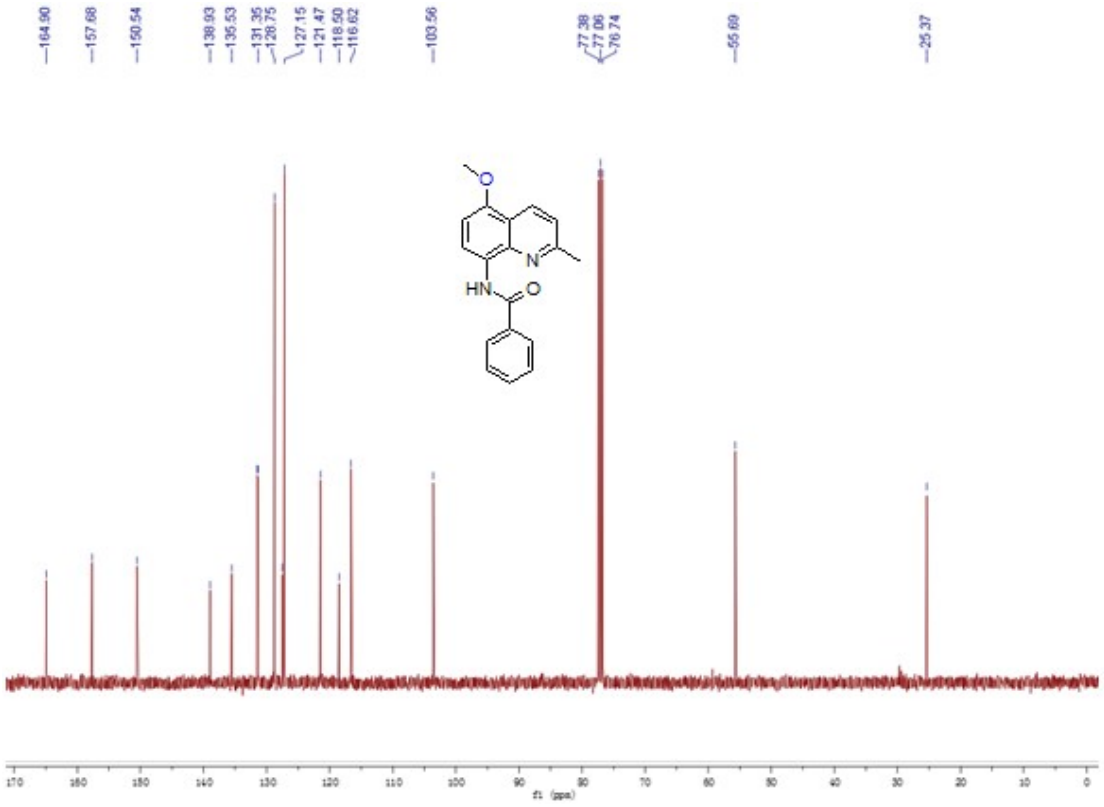
^{1}H and ^{13}C NMR Spectra for **6d**



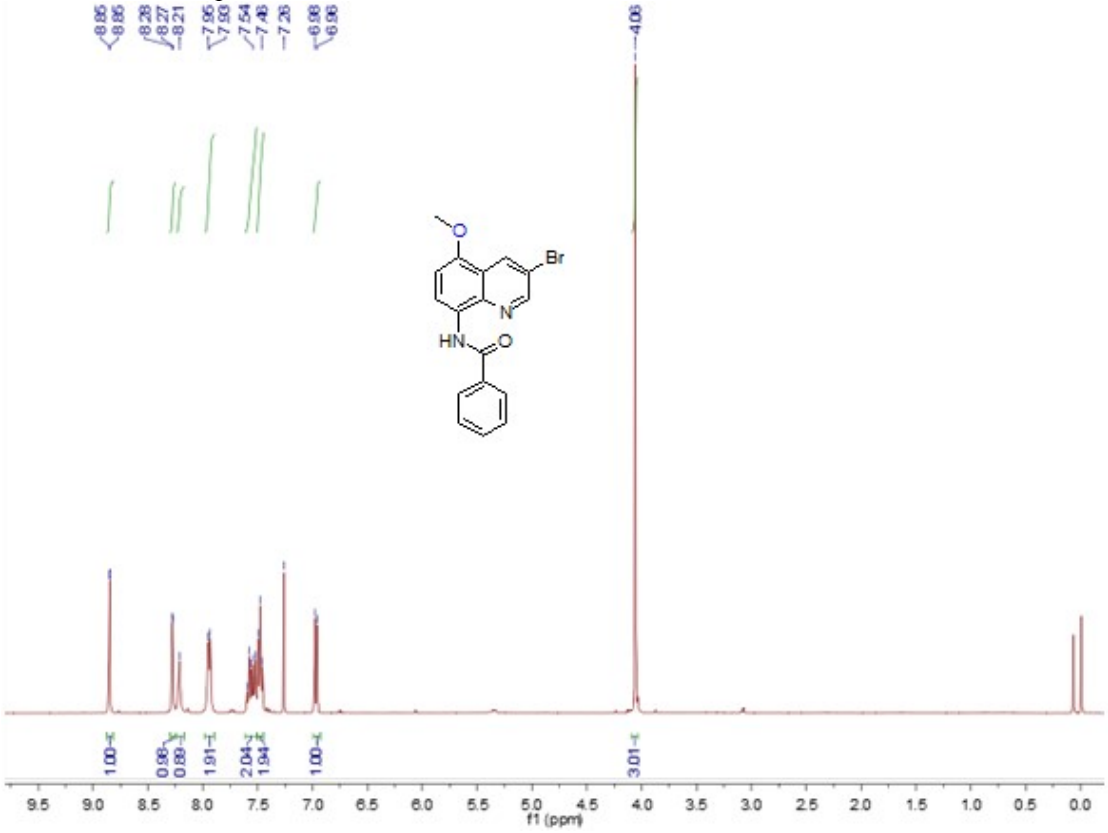


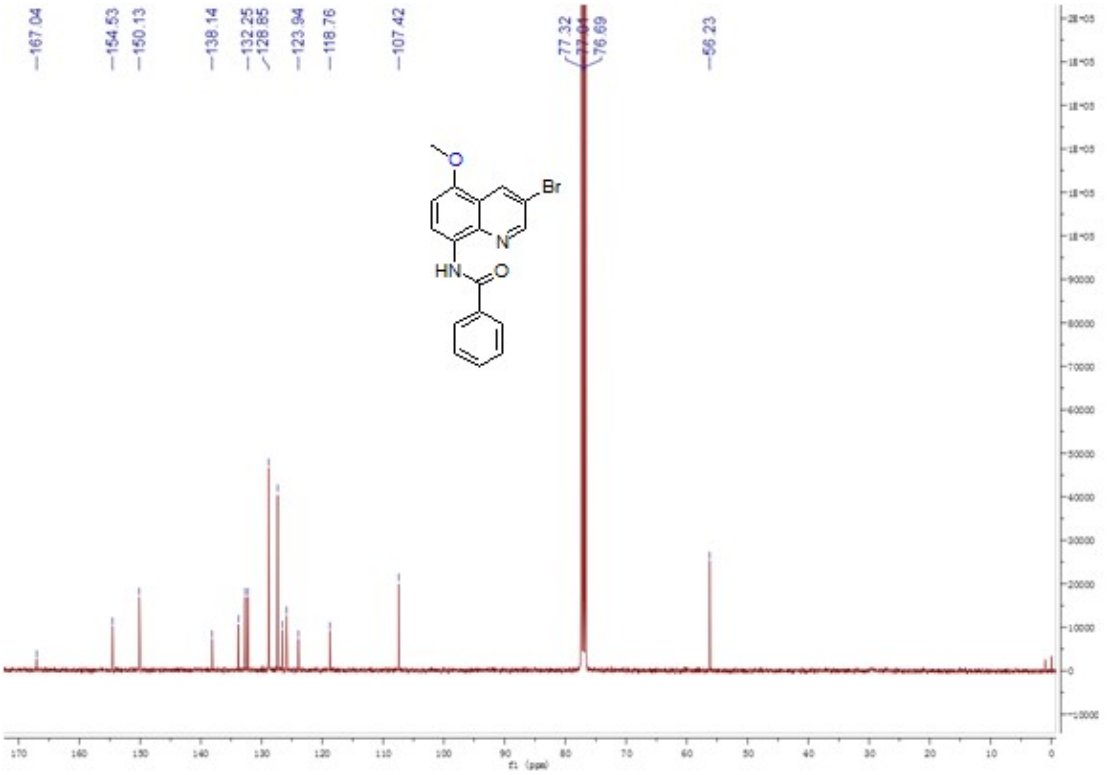
^{1}H and ^{13}C NMR Spectra for **6e**



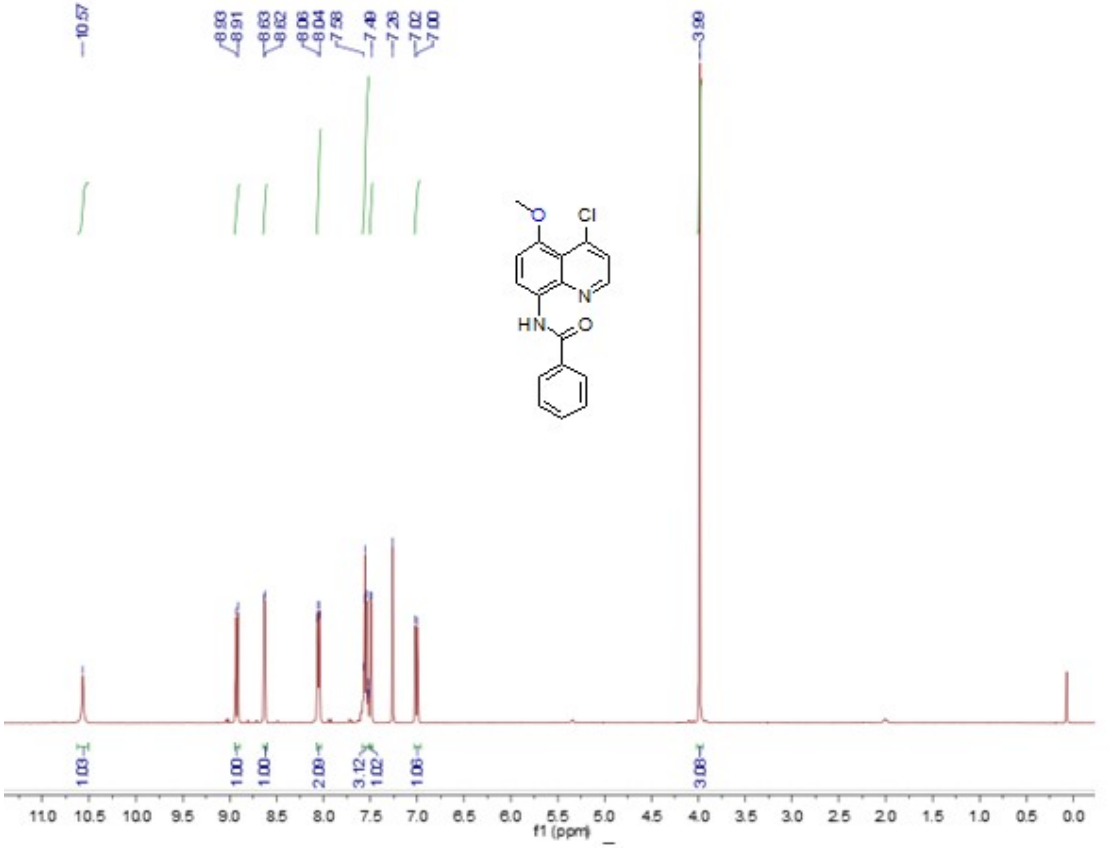


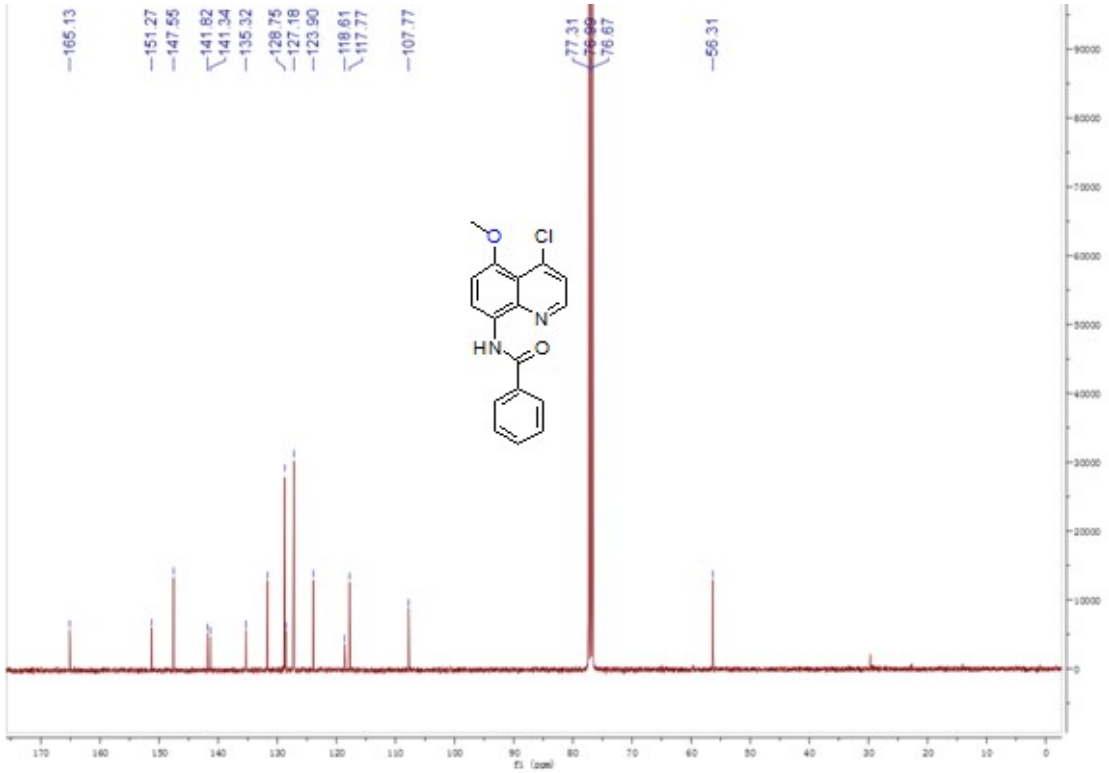
^{1}H and ^{13}C NMR Spectra for **6f**



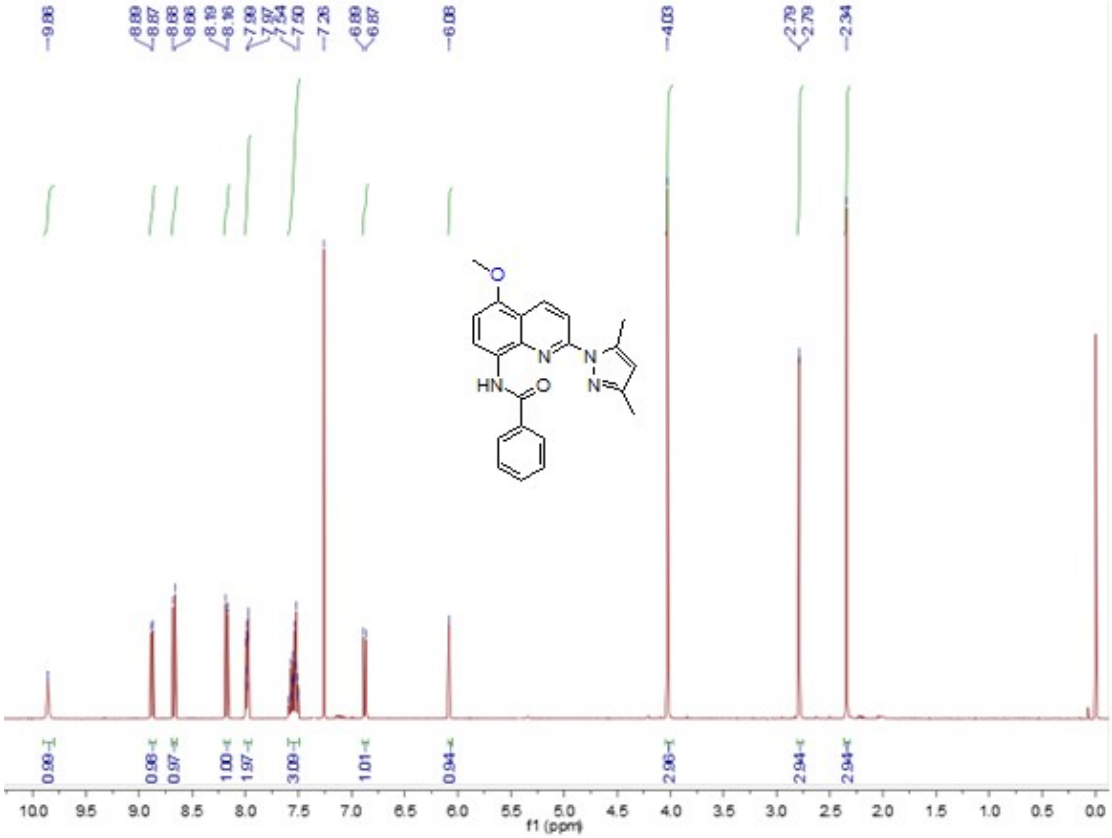


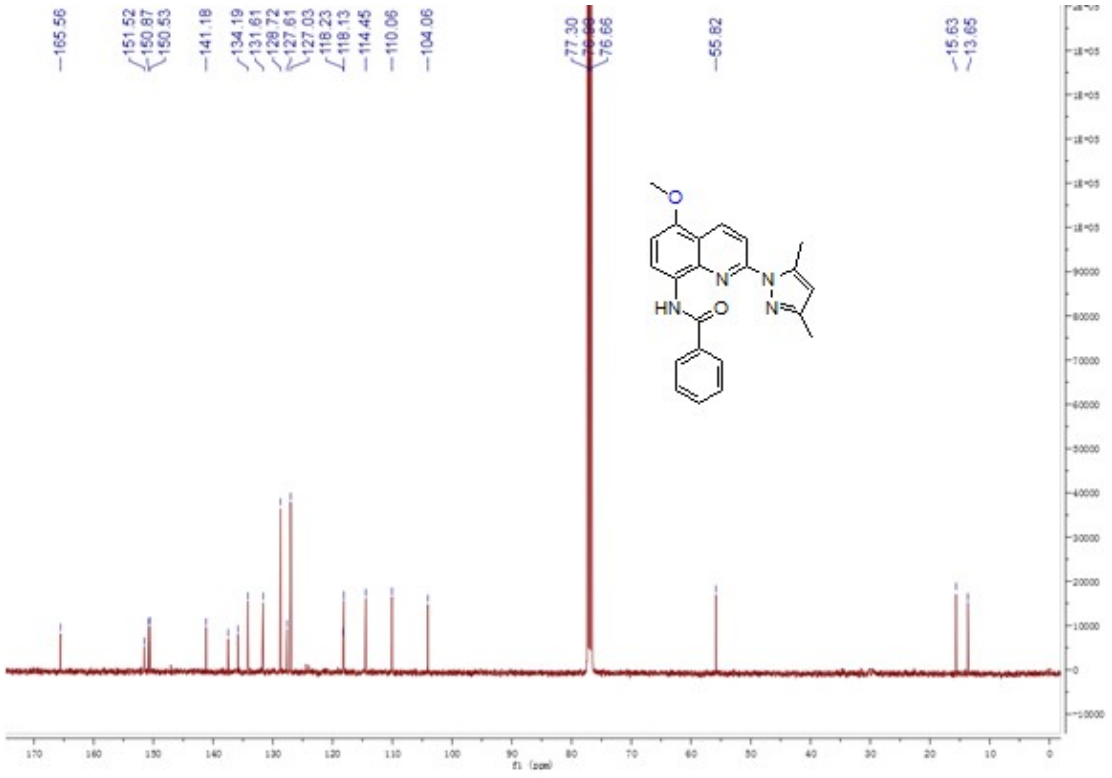
^{1}H and ^{13}C NMR Spectra for **6g**



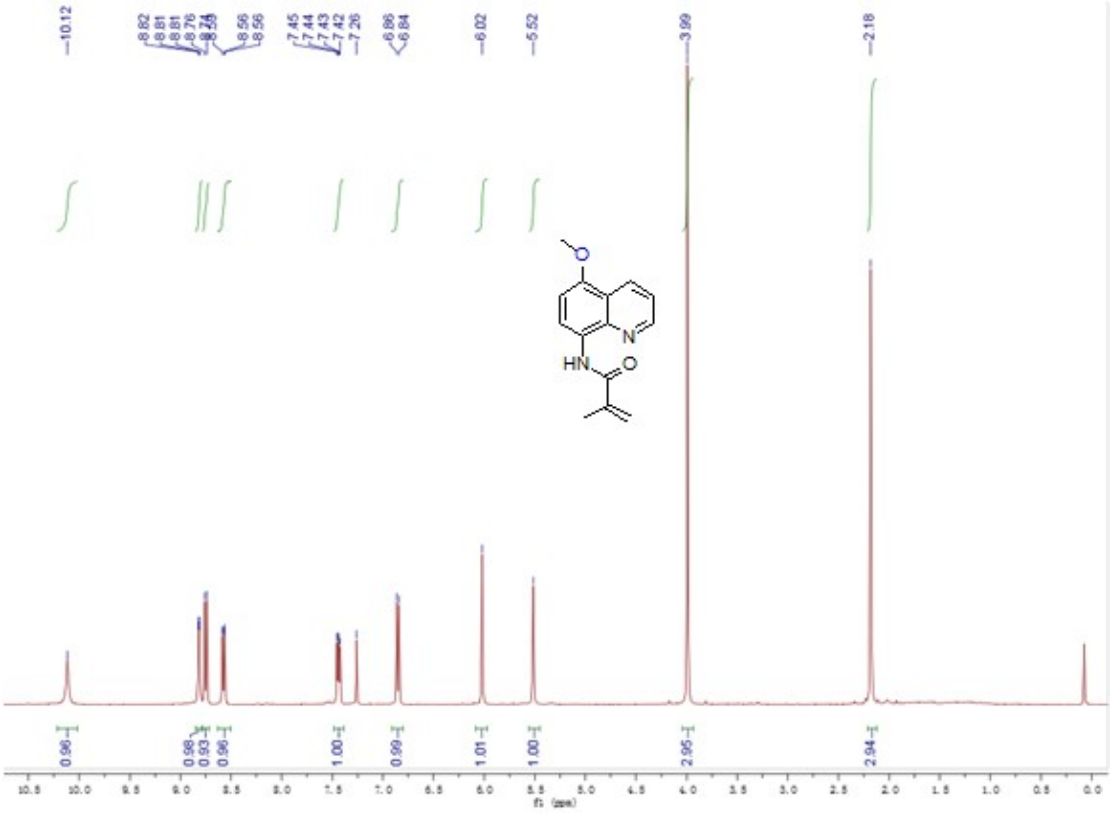


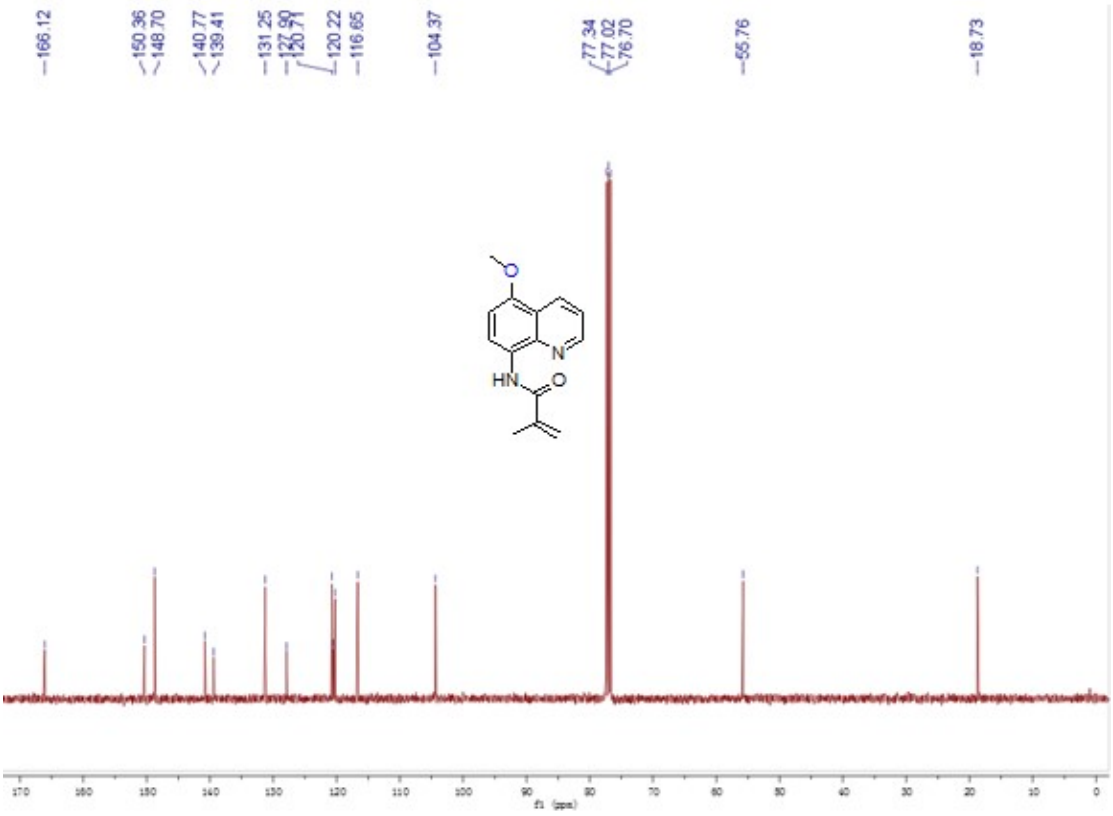
^{1}H and ^{13}C NMR Spectra for **6h**



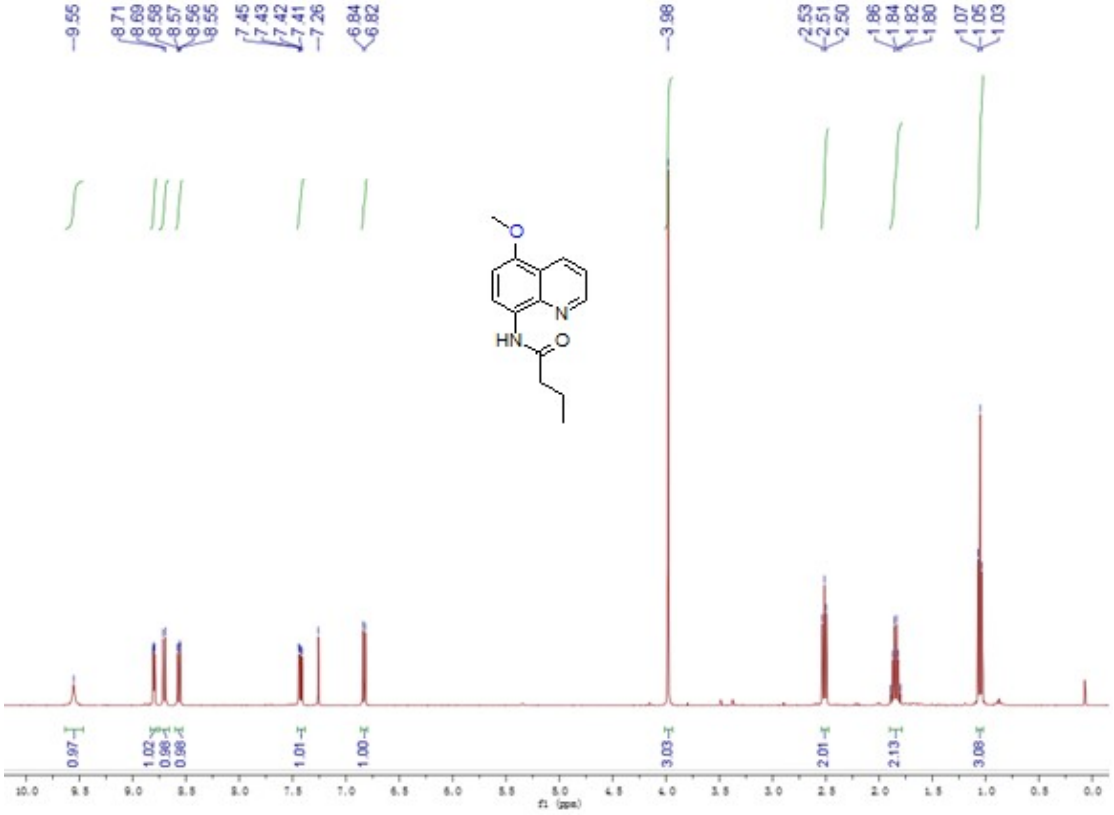


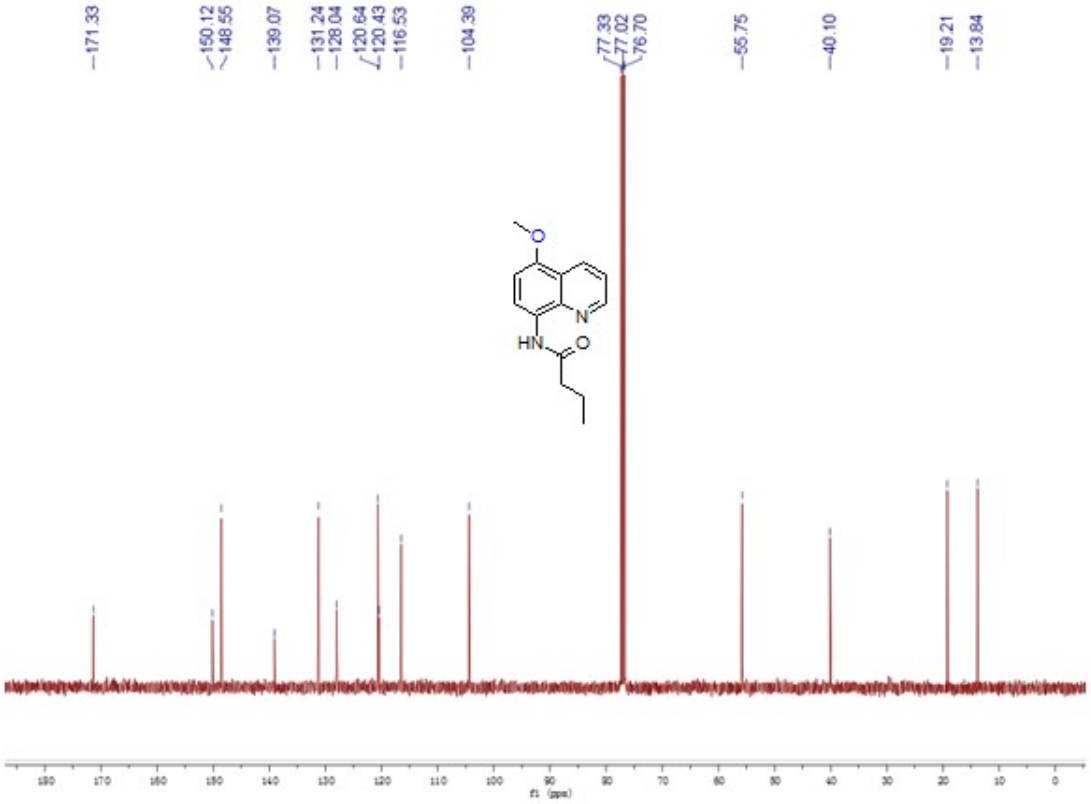
^{1}H and ^{13}C NMR Spectra for **6i**



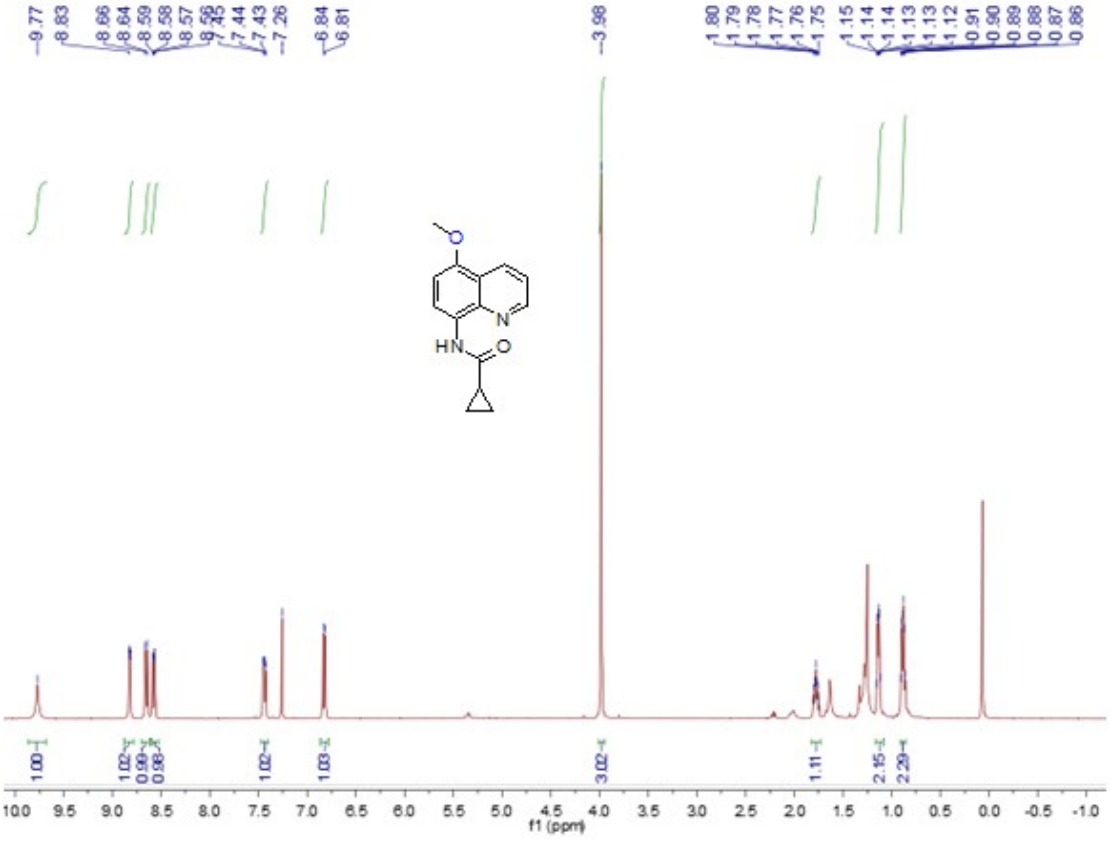


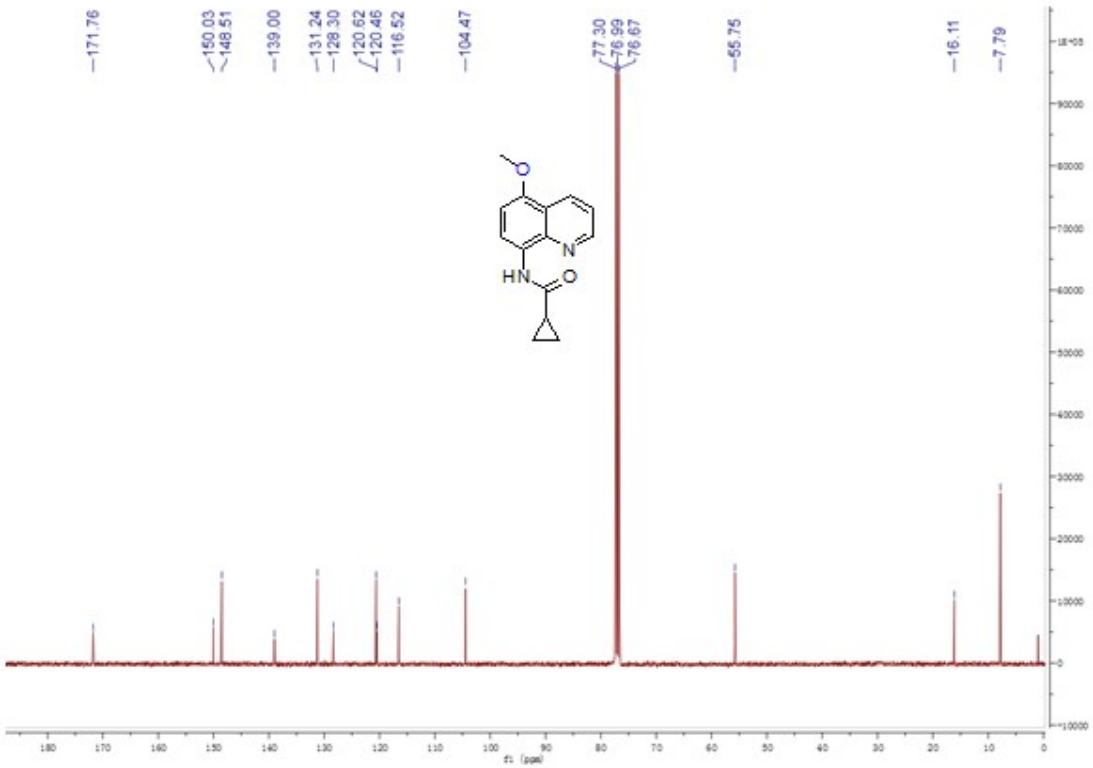
^{1}H and ^{13}C NMR Spectra for **6j**



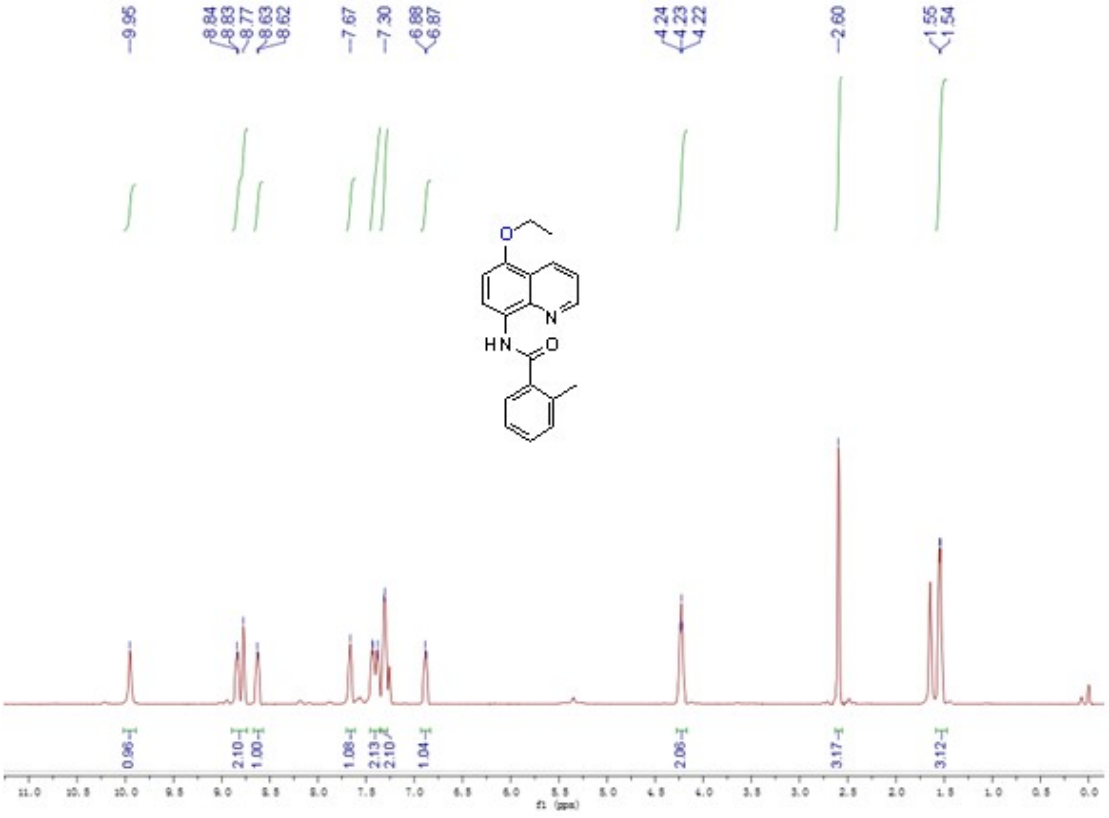


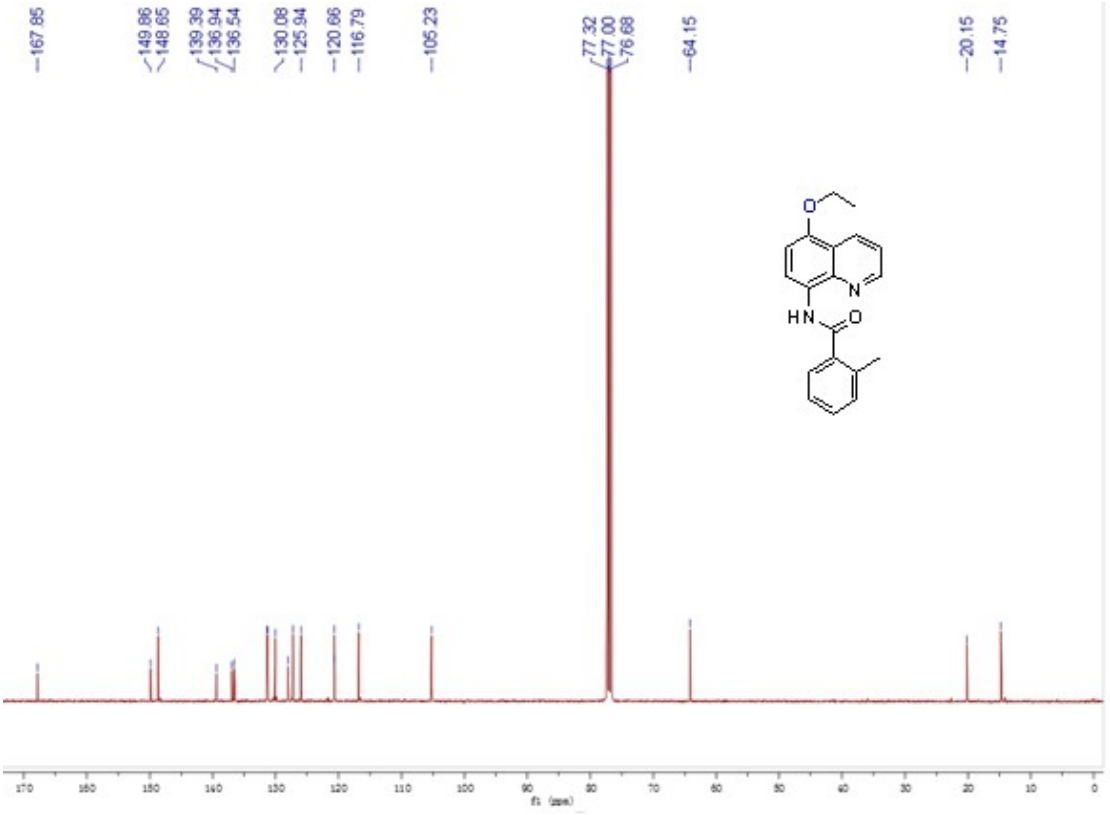
^{1}H and ^{13}C NMR Spectra for **6k**



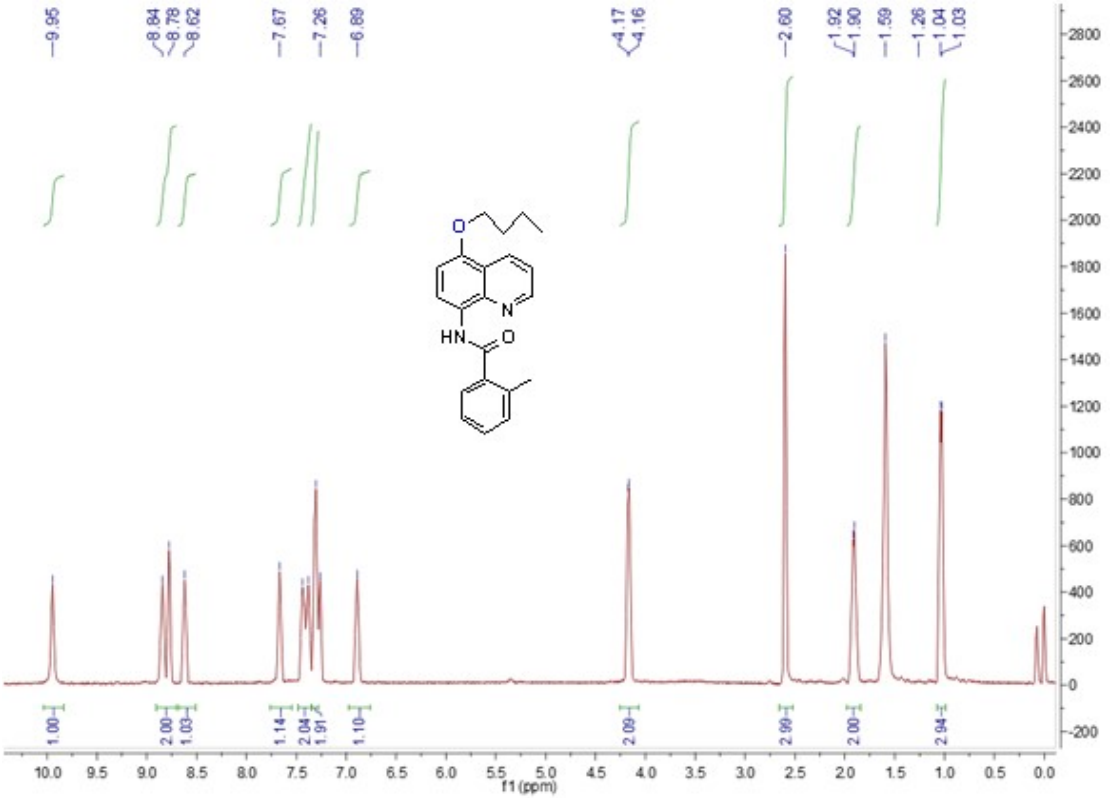


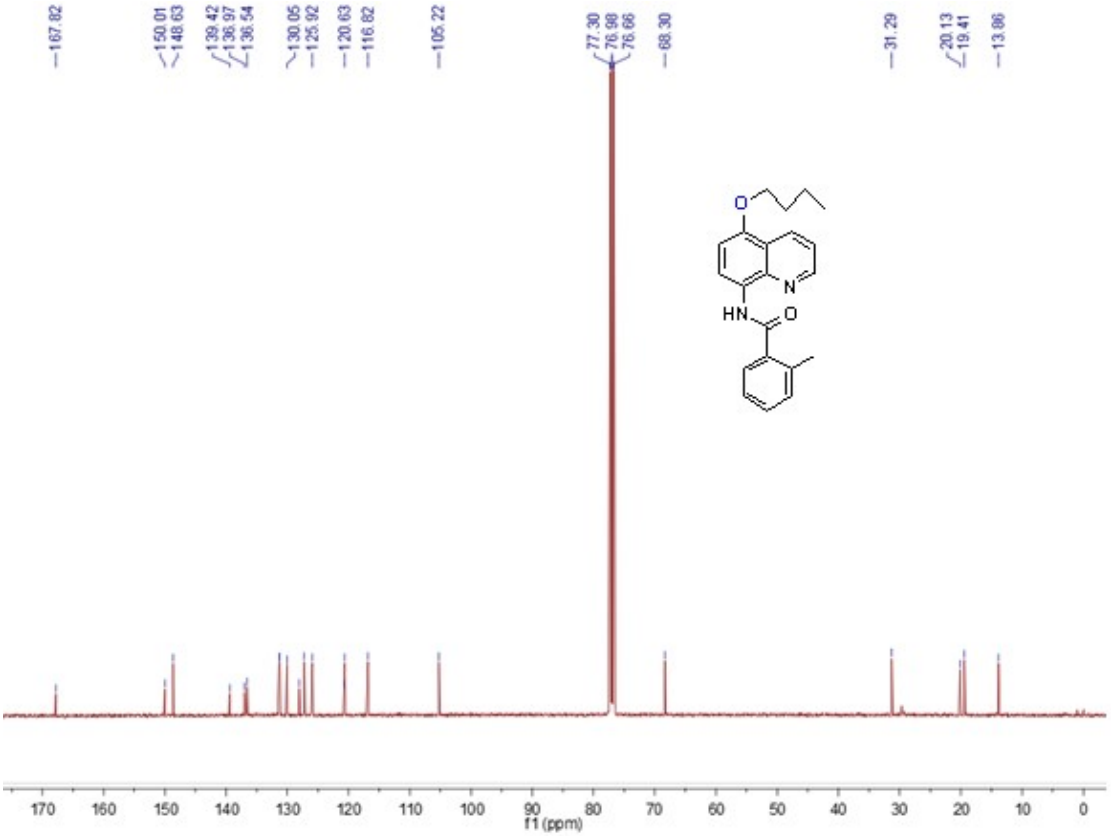
^{1}H and ^{13}C NMR Spectra for **6l**



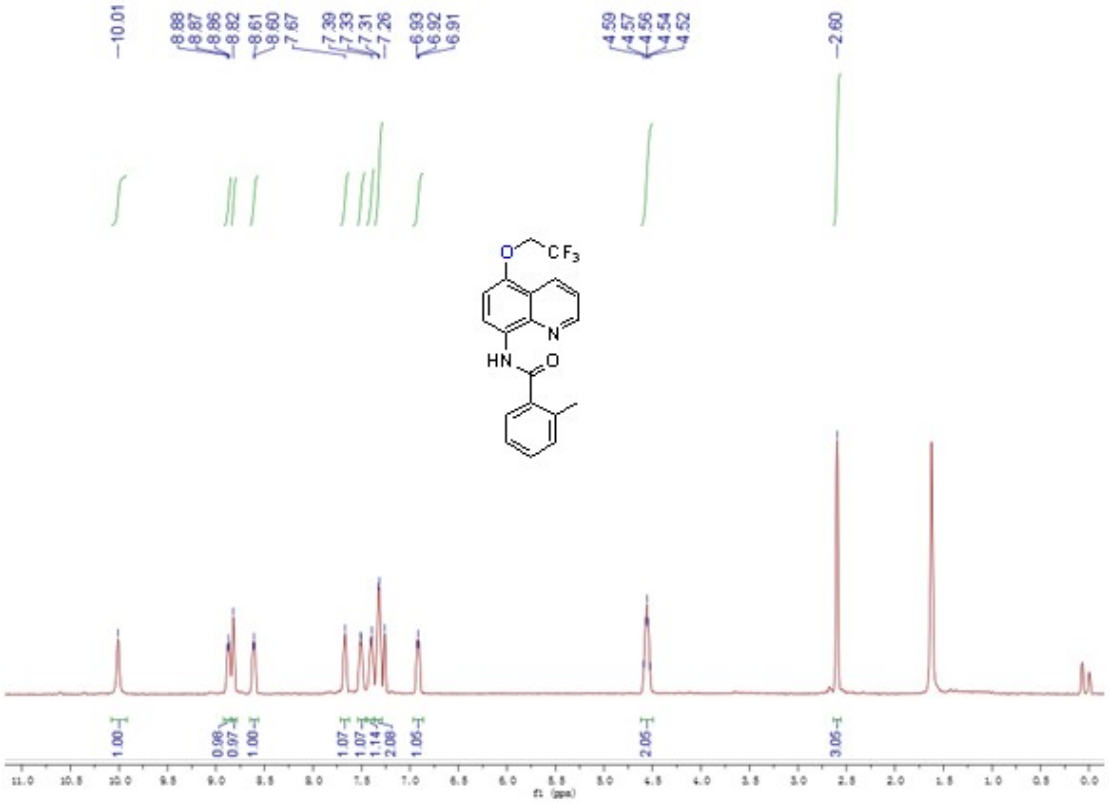


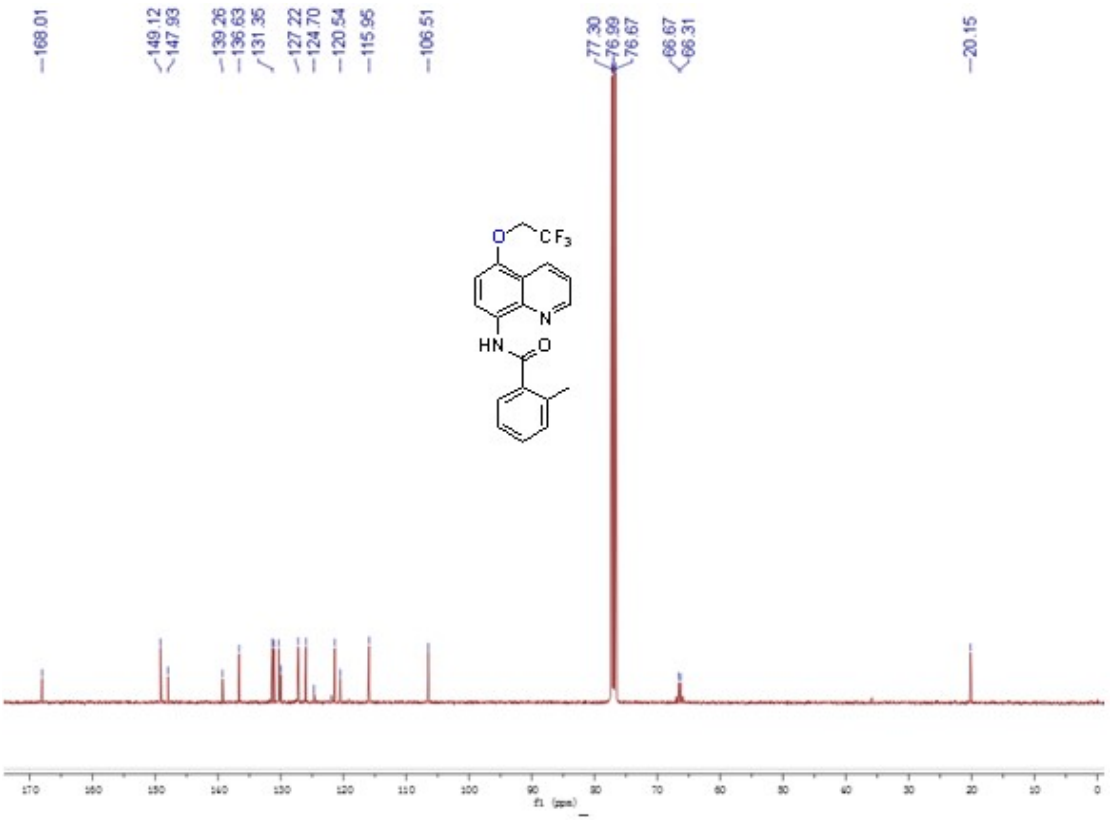
^{1}H and ^{13}C NMR Spectra for **6m**



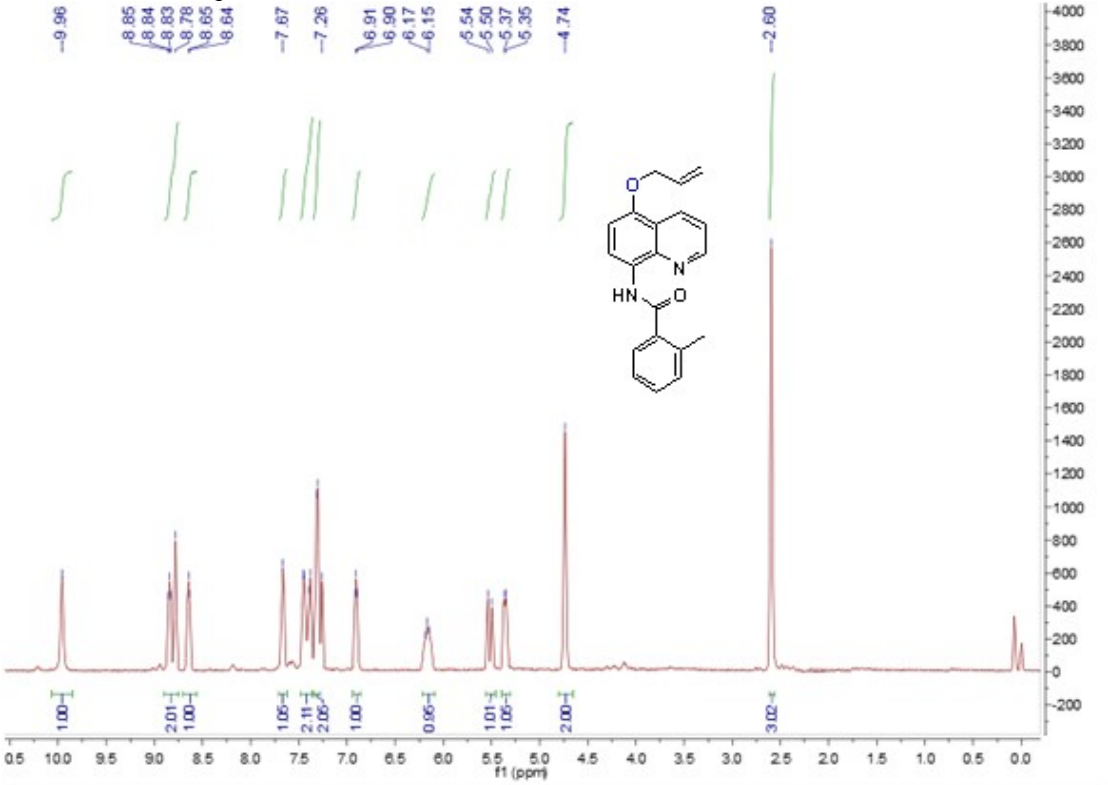


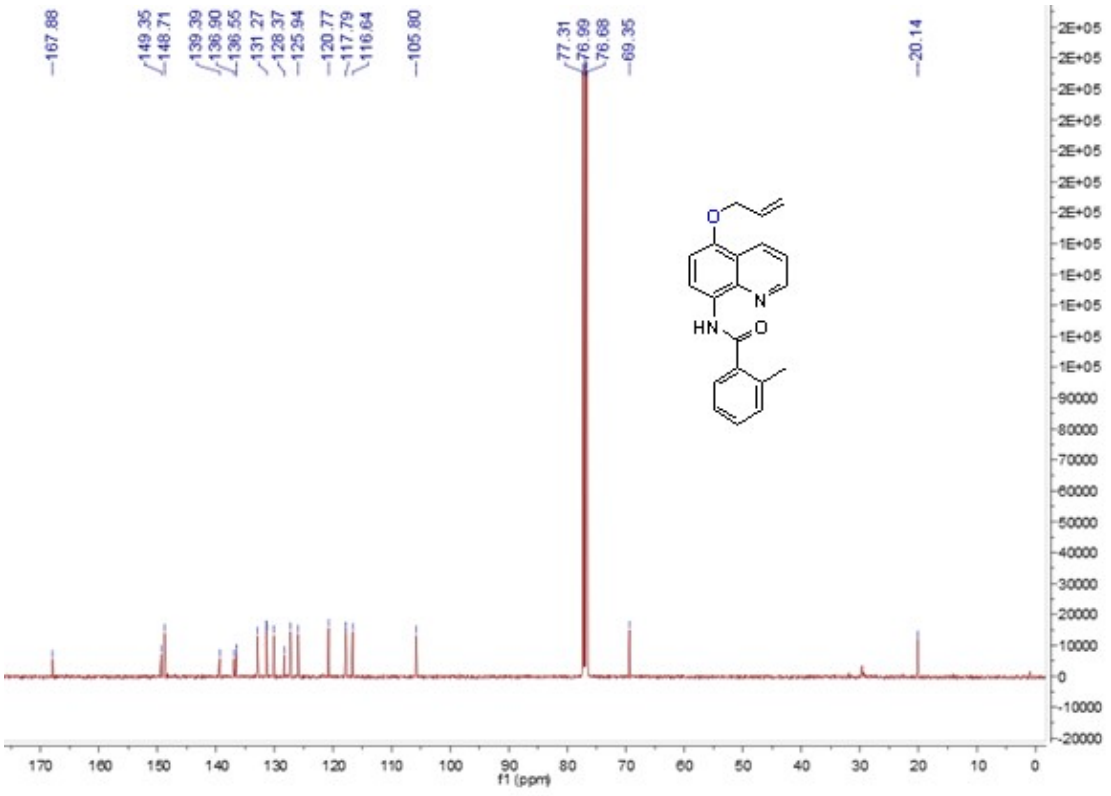
^{1}H and ^{13}C NMR Spectra for **6n**



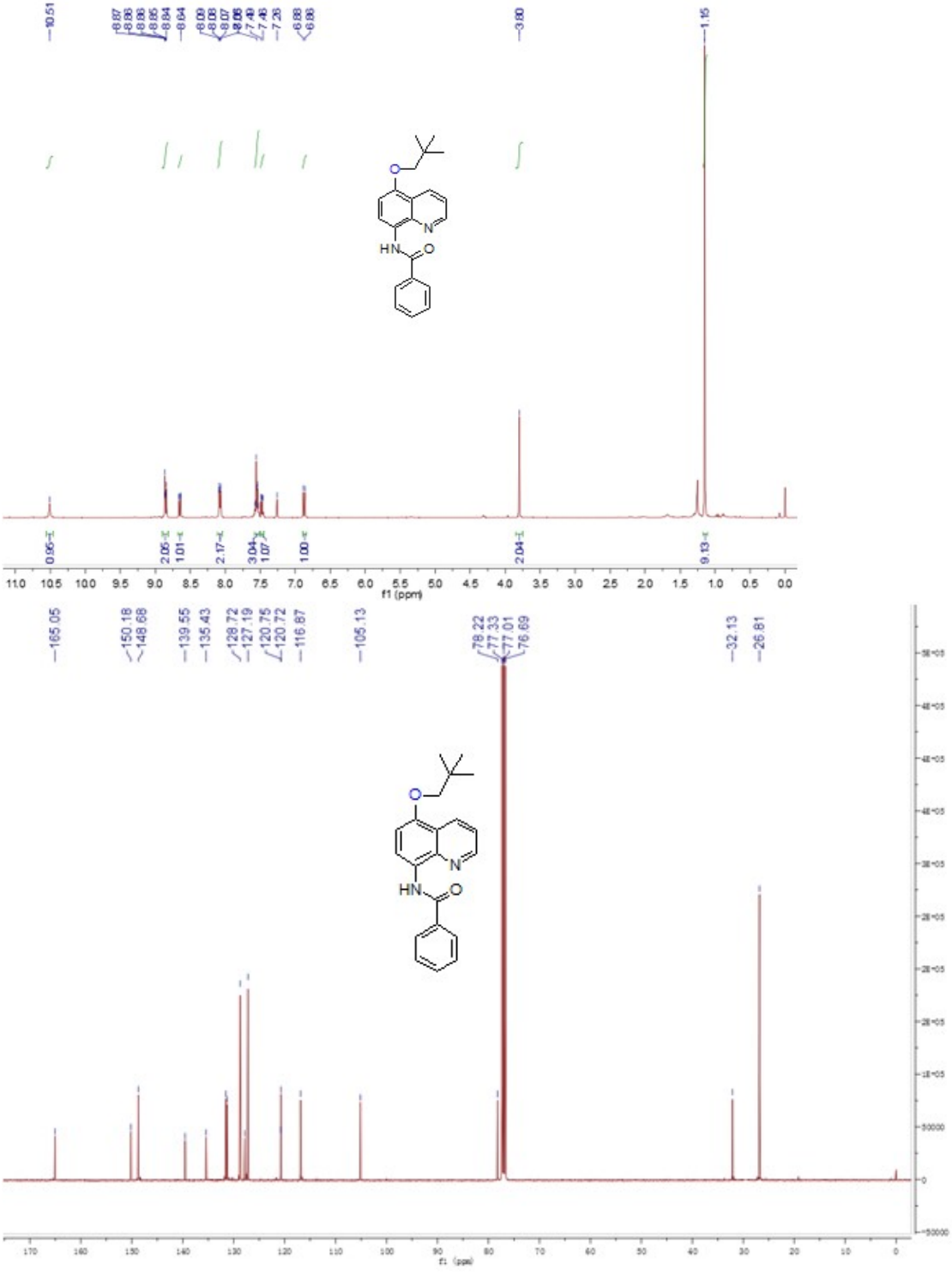


^{1}H and ^{13}C NMR Spectra for **6o**

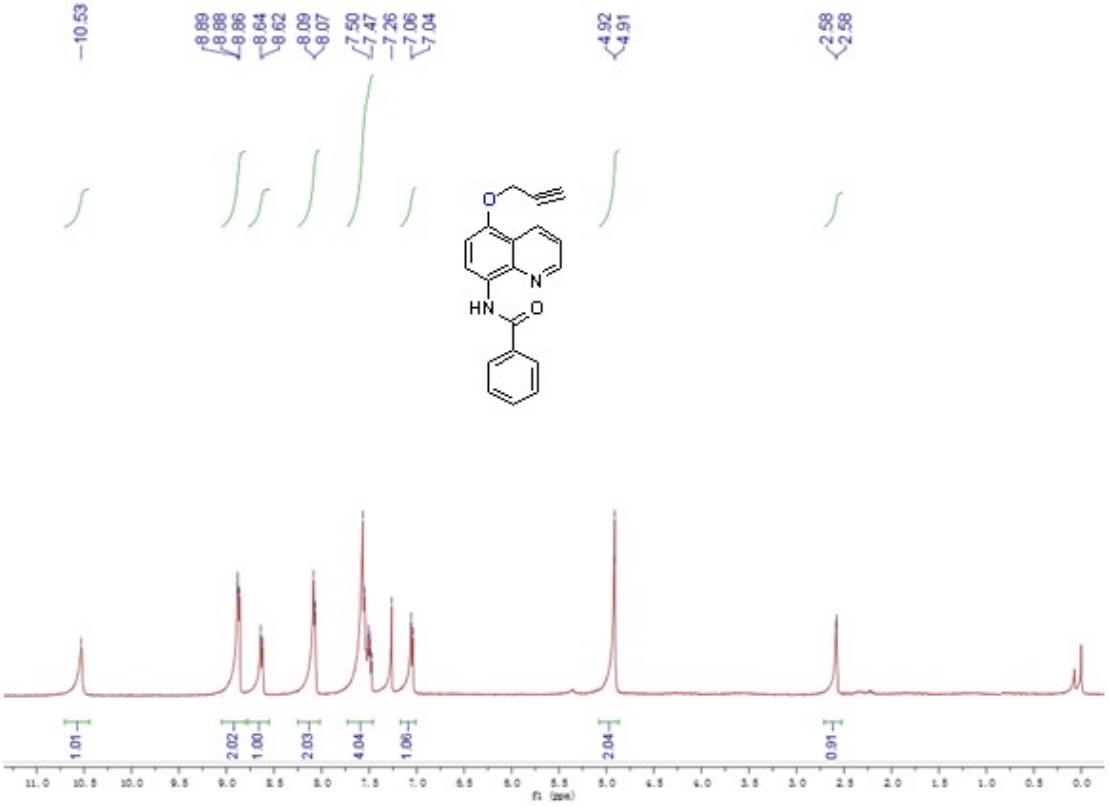


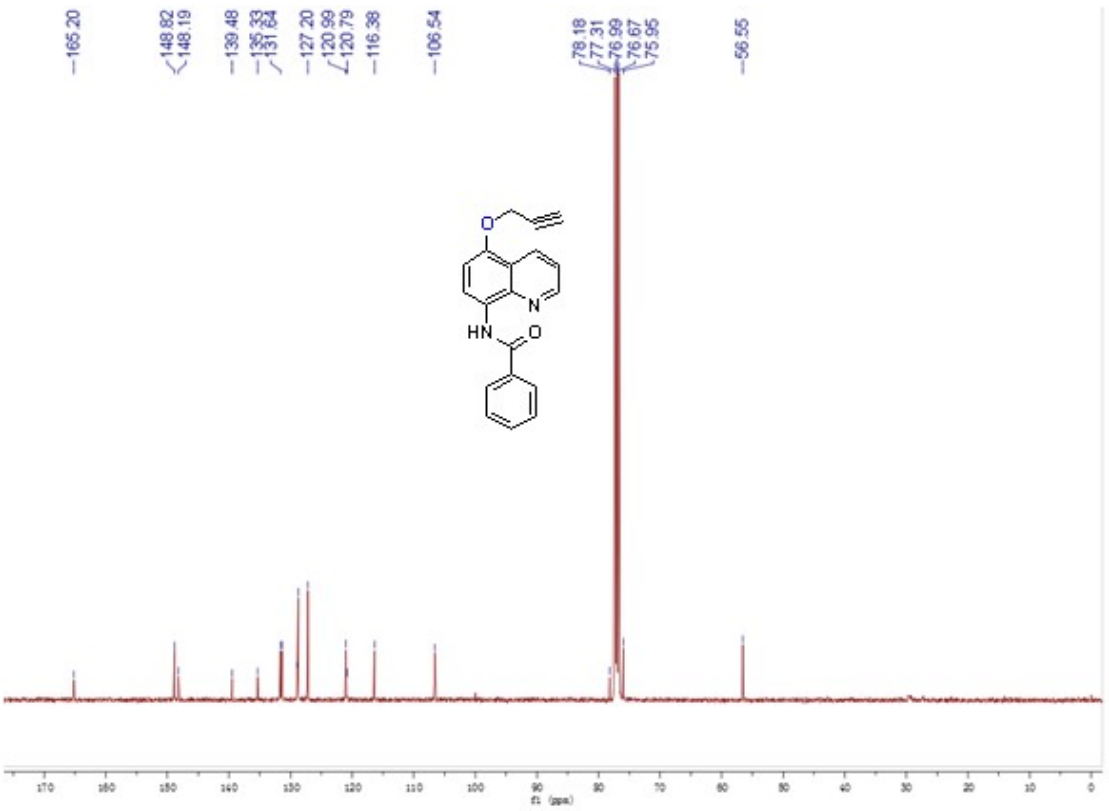


^{1}H and ^{13}C NMR Spectra for **6p**

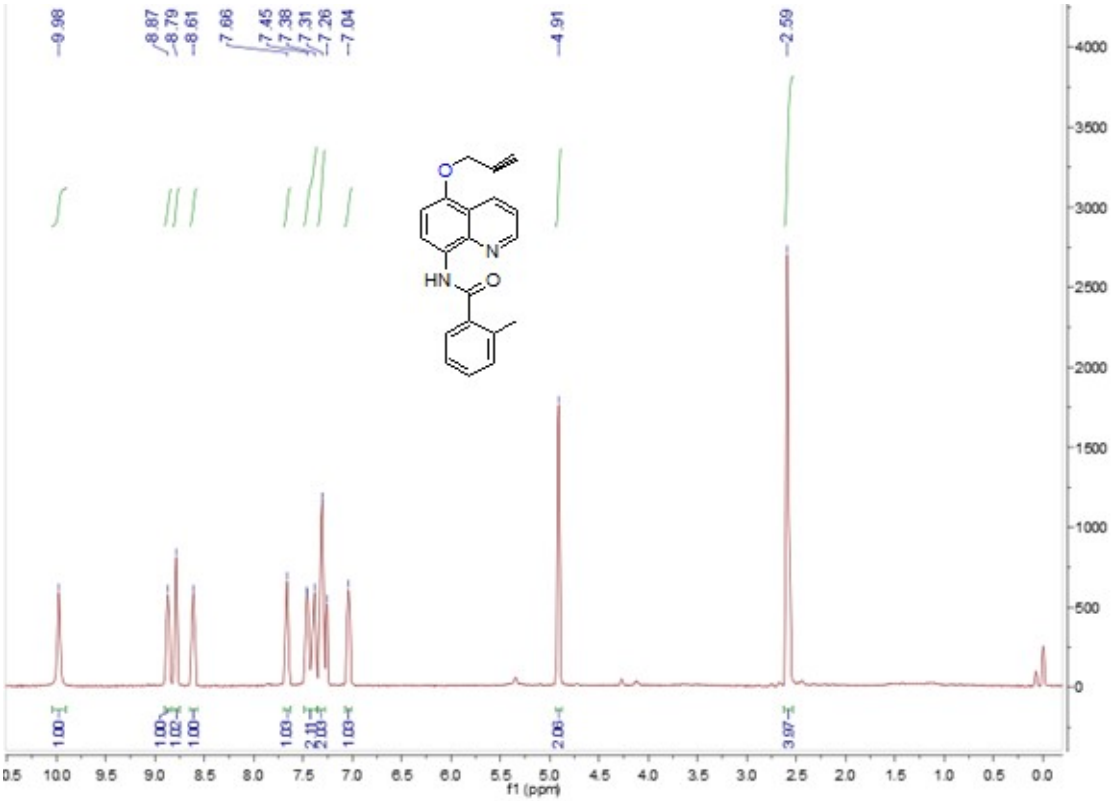


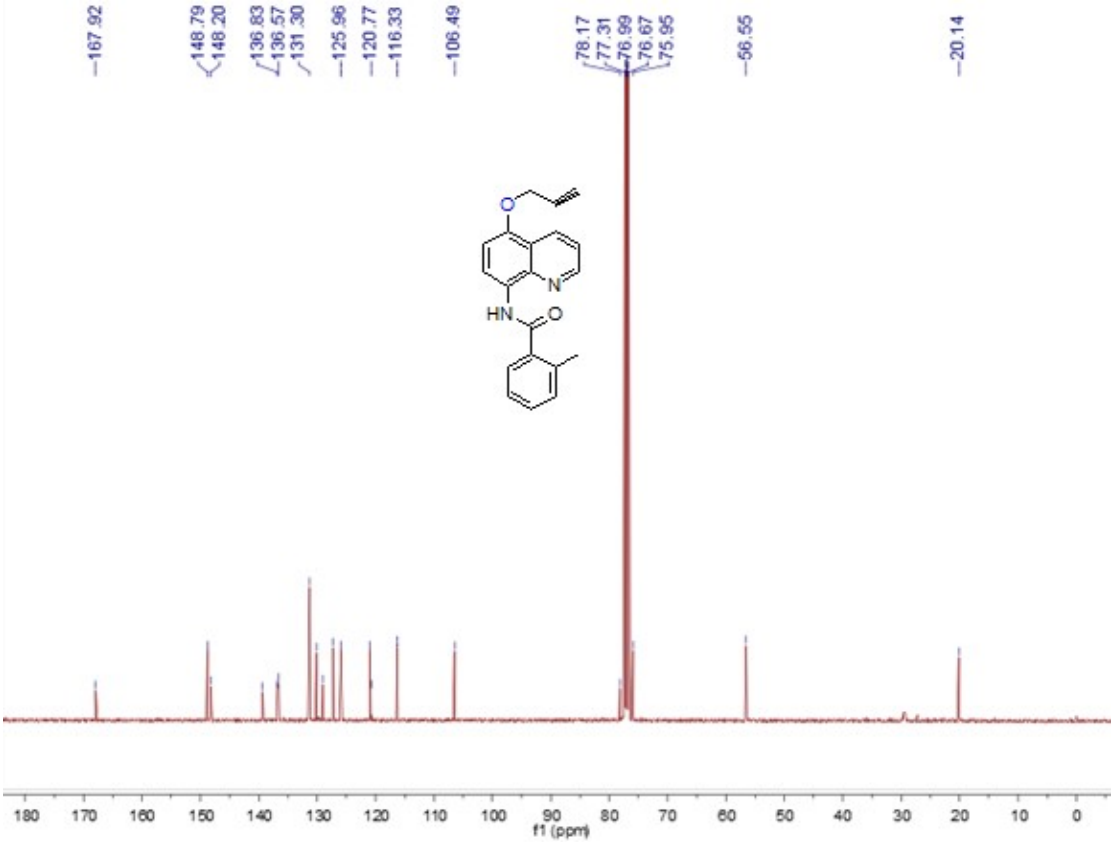
^{1}H and ^{13}C NMR Spectra for **6q**



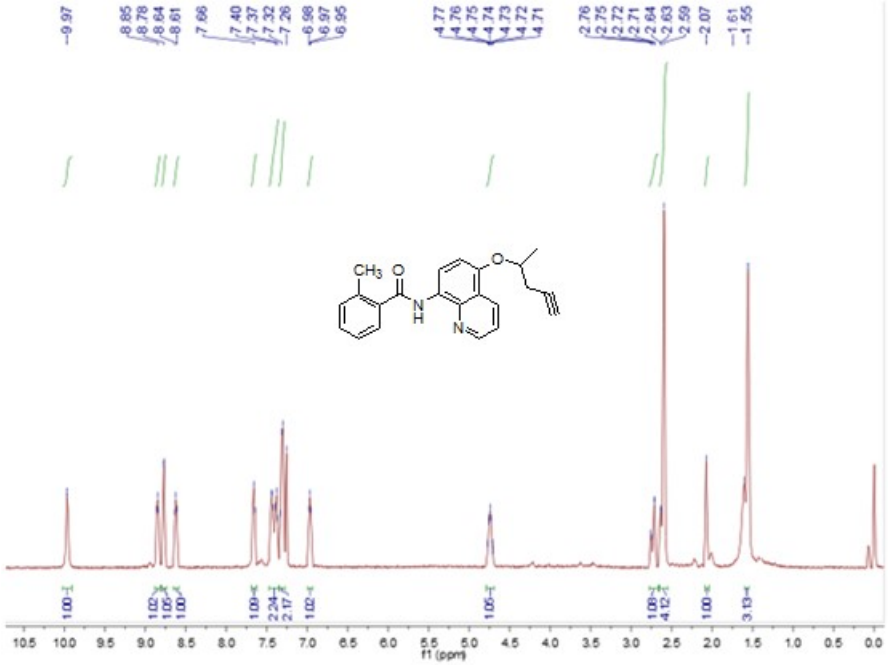


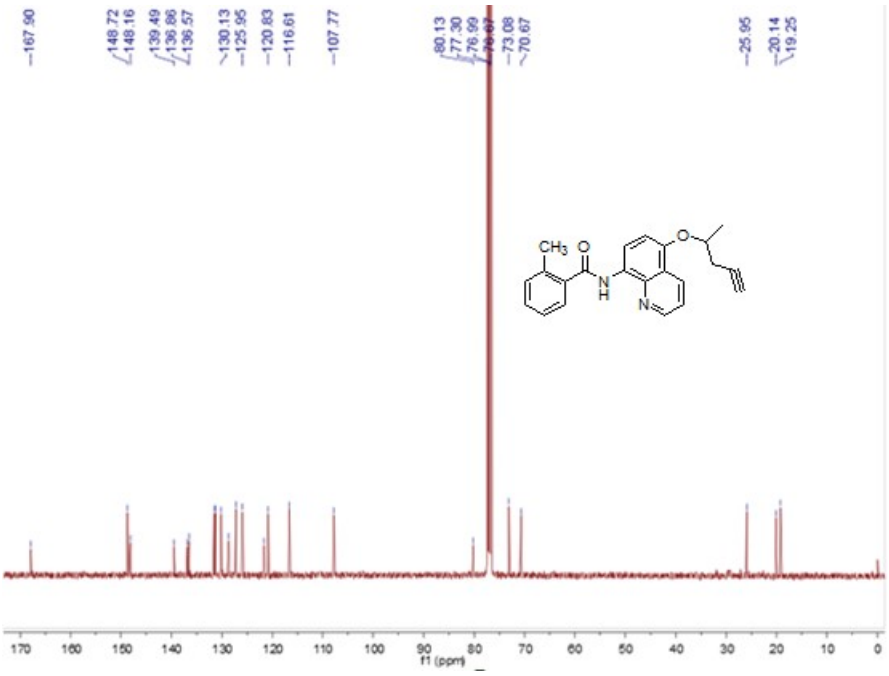
^{1}H and ^{13}C NMR Spectra for **6r**



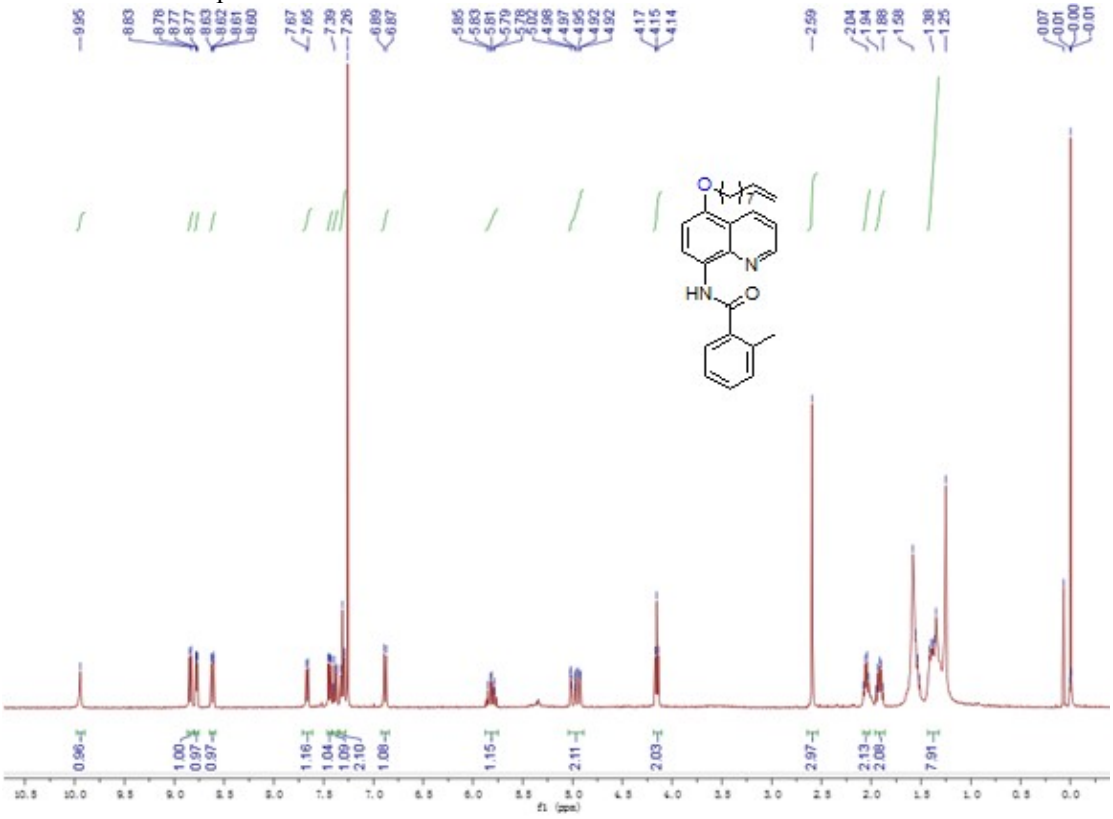


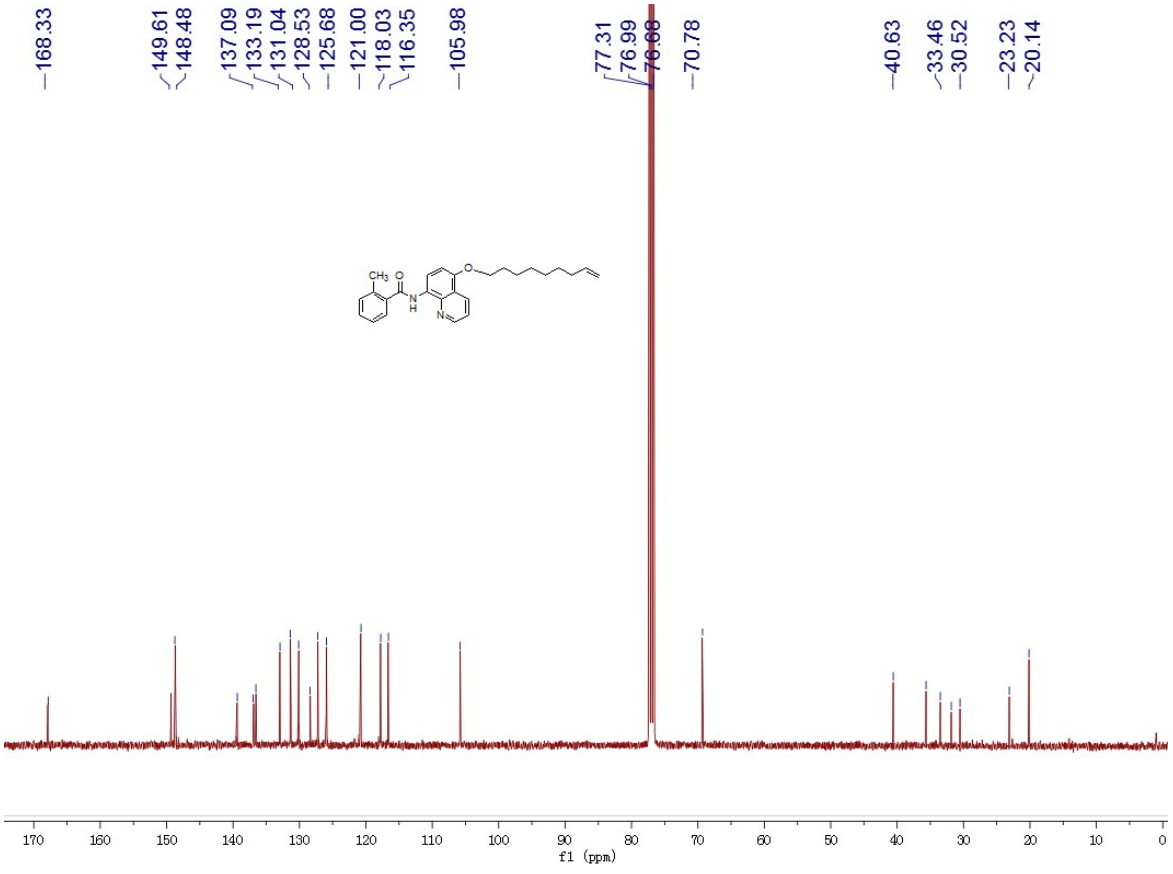
^{1}H and ^{13}C NMR Spectra for **6s**



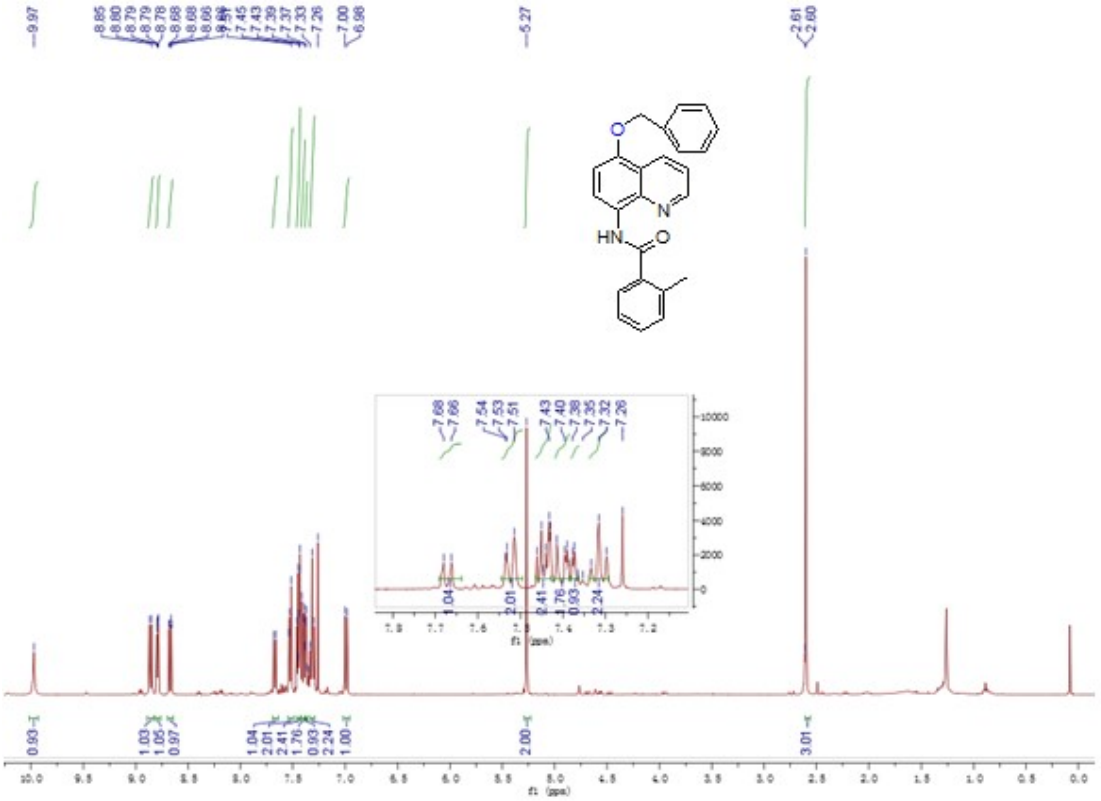


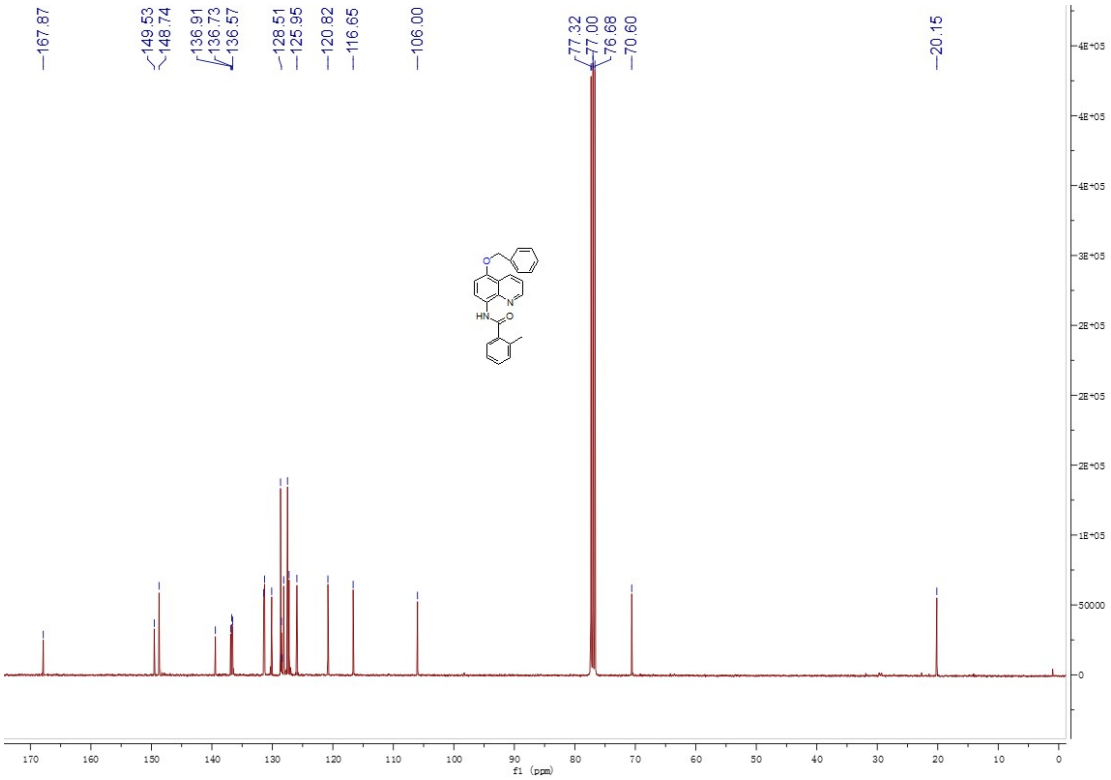
^{1}H and ^{13}C NMR Spectra for **6t**



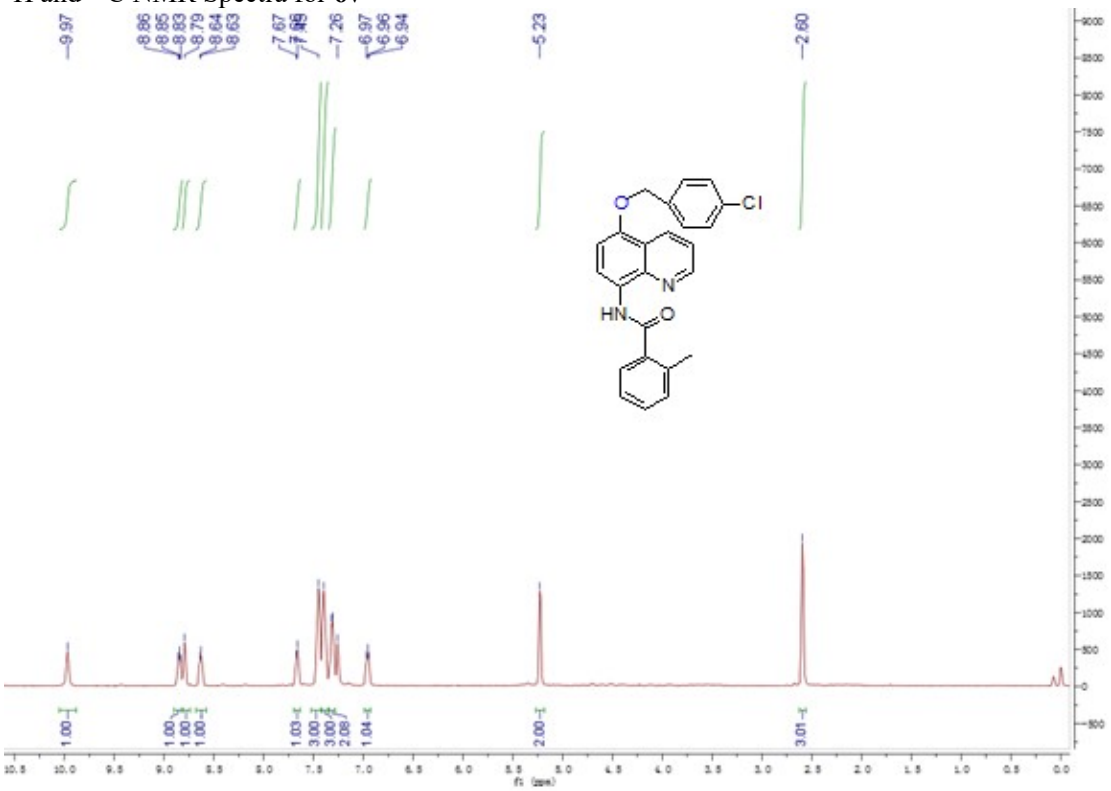


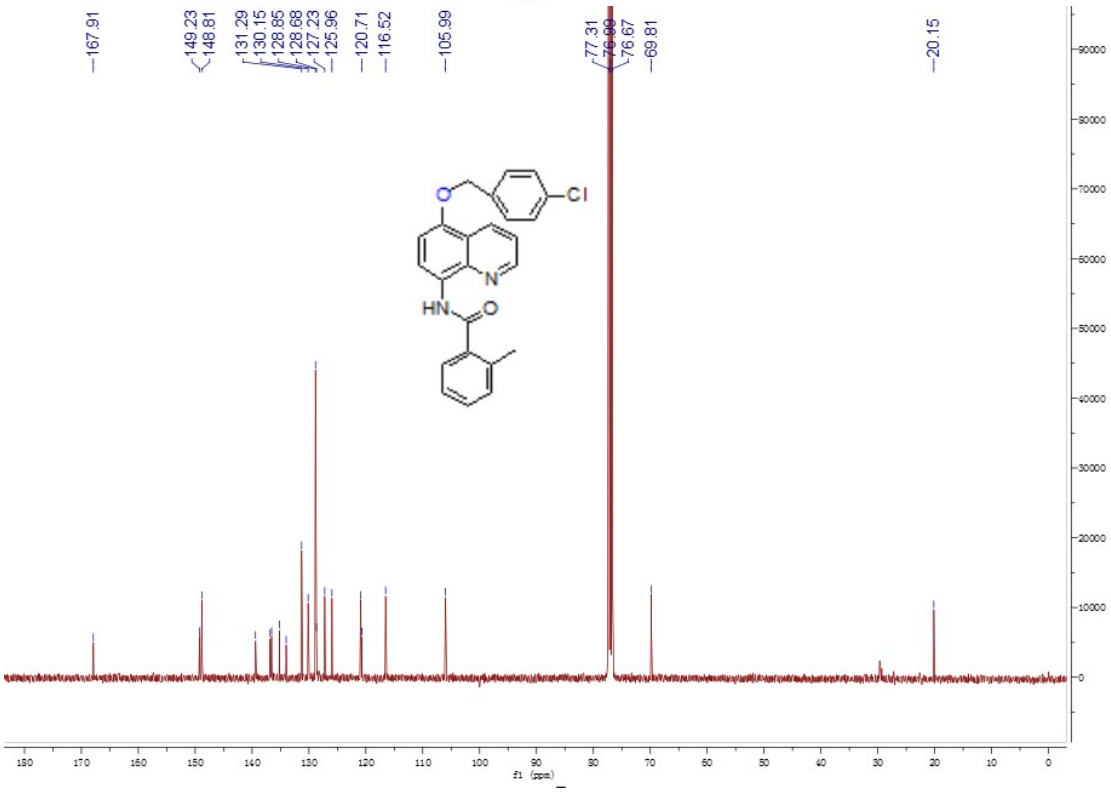
^{1}H and ^{13}C NMR Spectra for **6u**



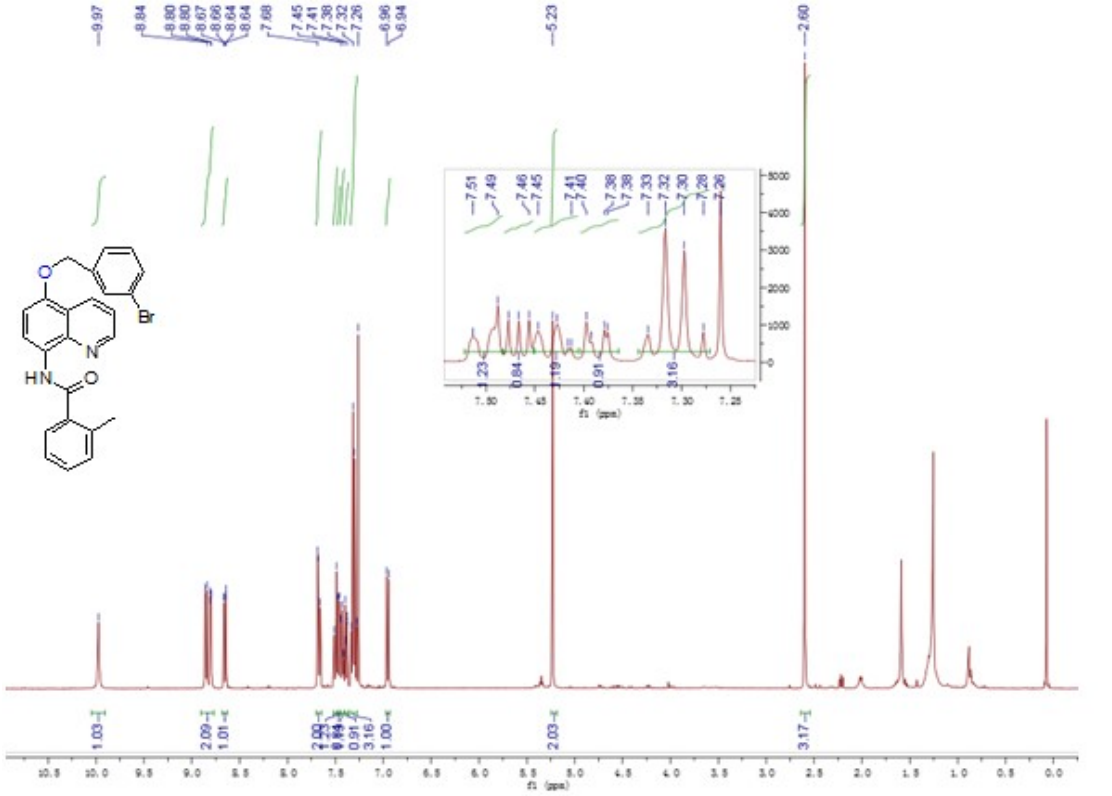


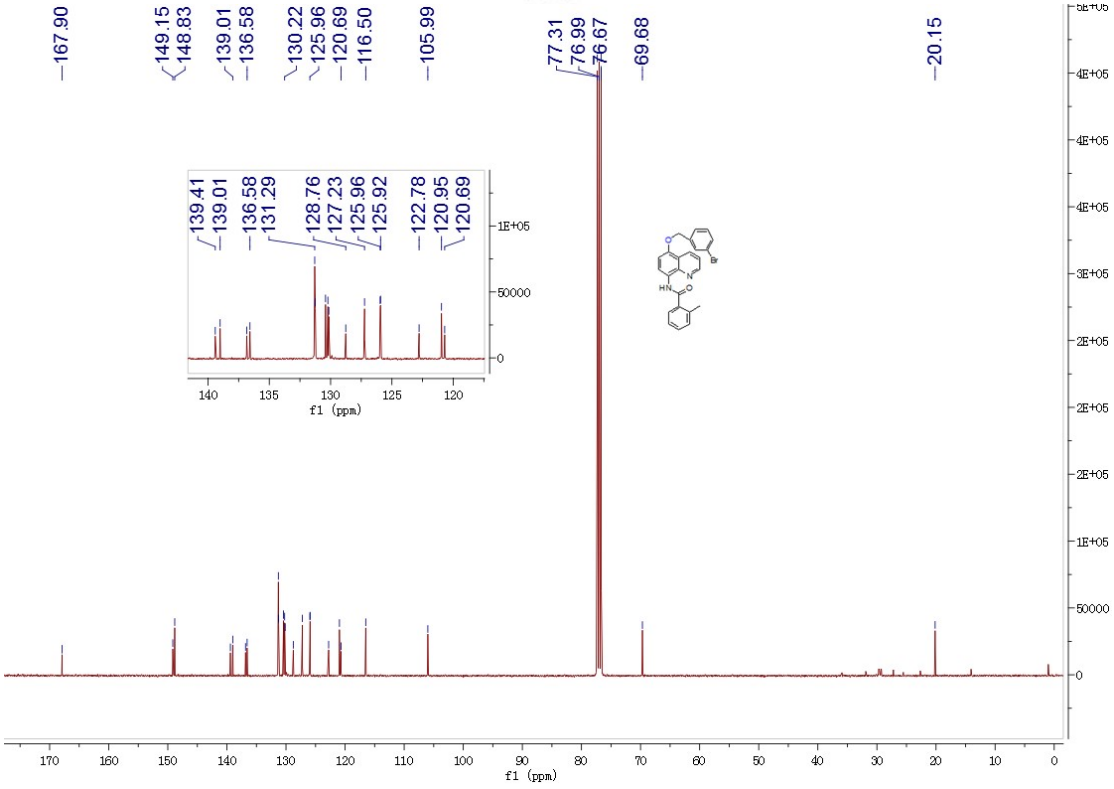
^{1}H and ^{13}C NMR Spectra for **6v**



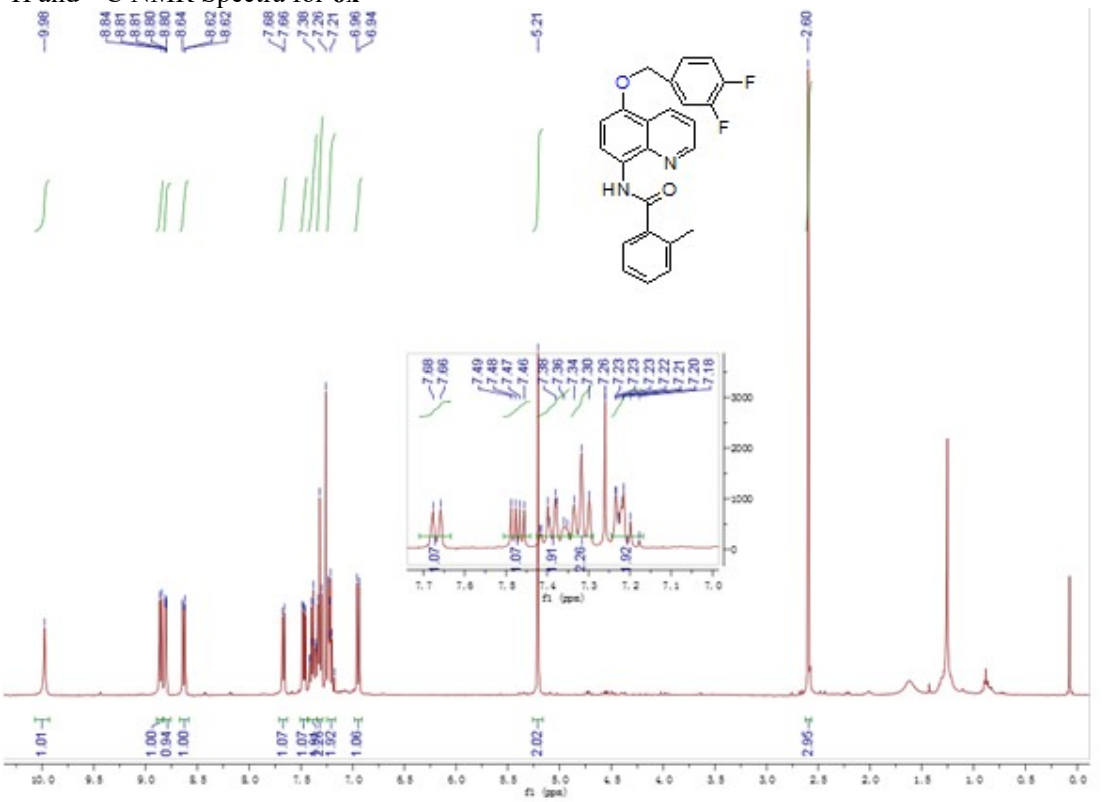


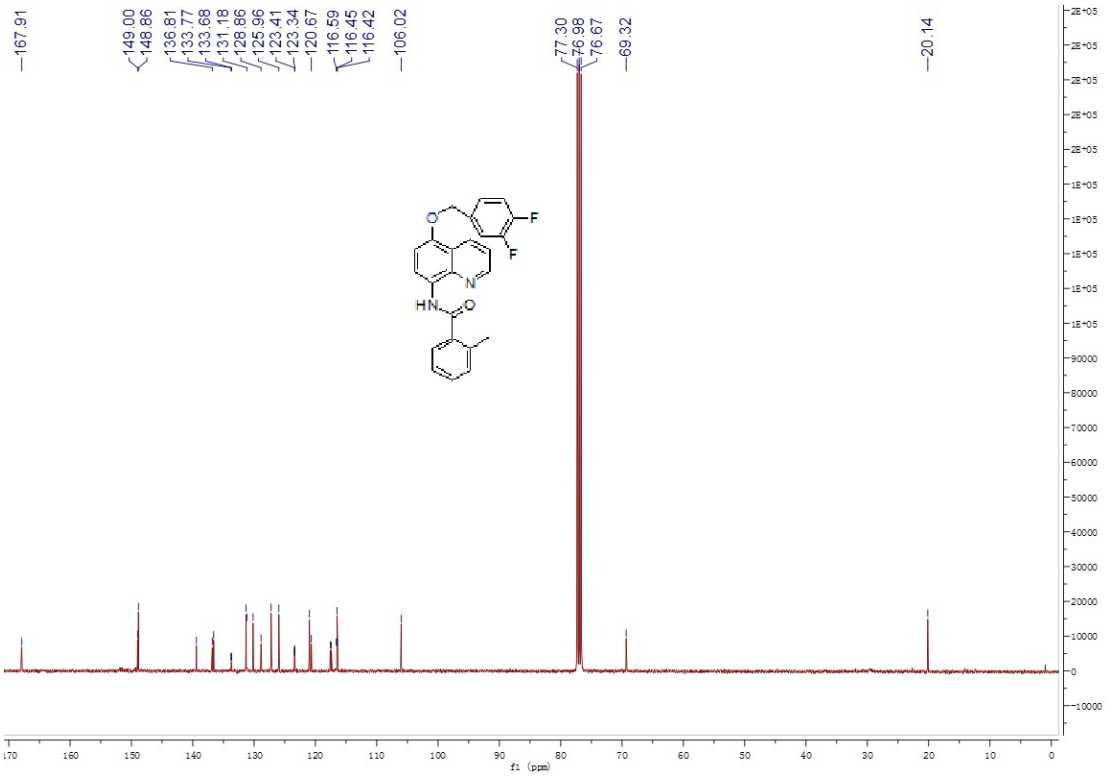
^{1}H and ^{13}C NMR Spectra for **6w**





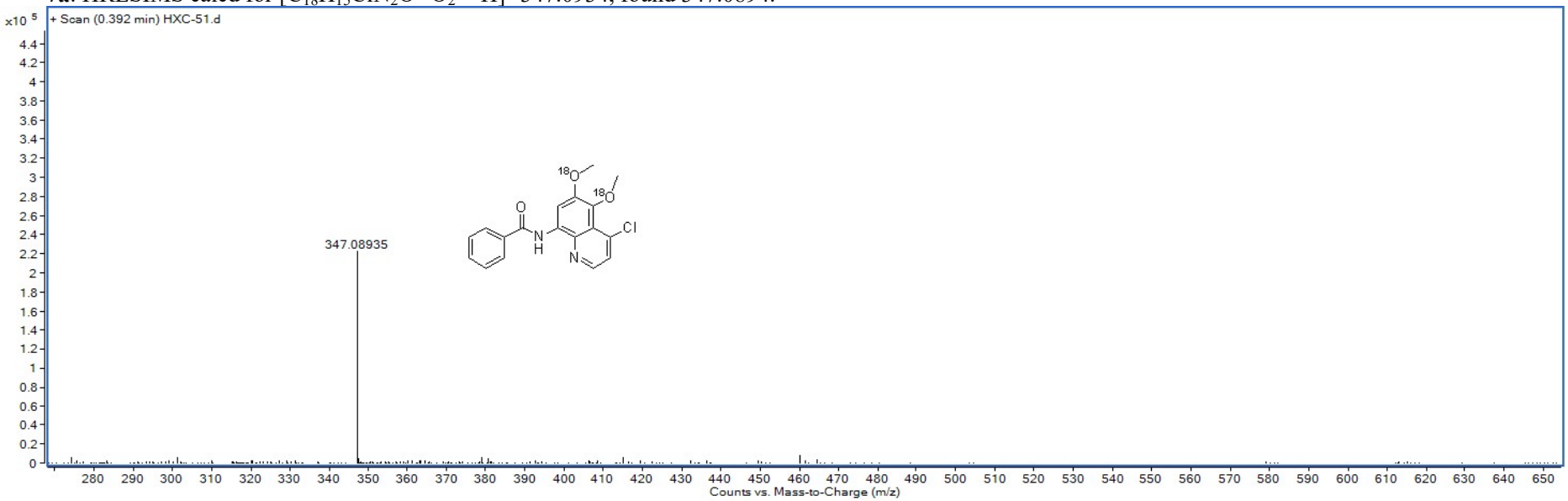
^{1}H and ^{13}C NMR Spectra for **6x**



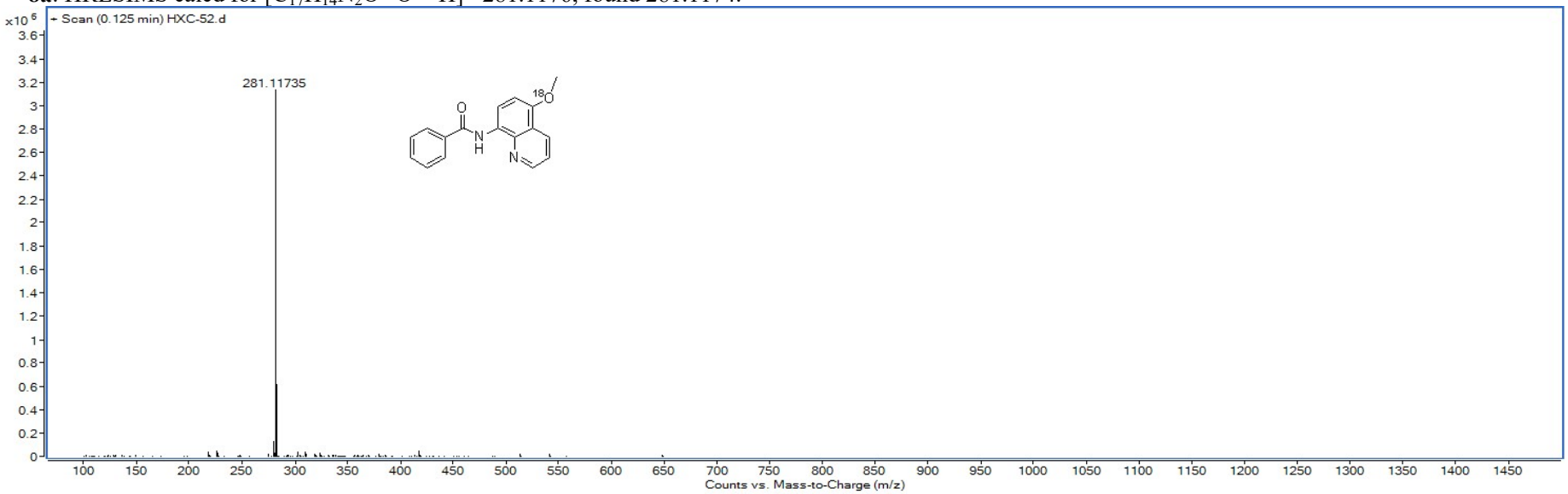


5. Copies of high resolution mass spectra of compounds 7a and 8a

7a: HRESIMS calcd for $[C_{18}H_{15}ClN_2O^{18}O_2 + H]^+$ 347.0934, found 347.0894.



8a: HRESIMS calcd for $[C_{17}H_{14}N_2O^{18}O + H]^+$ 281.1176, found 281.1174.



6. X-ray Data of Compound 6d

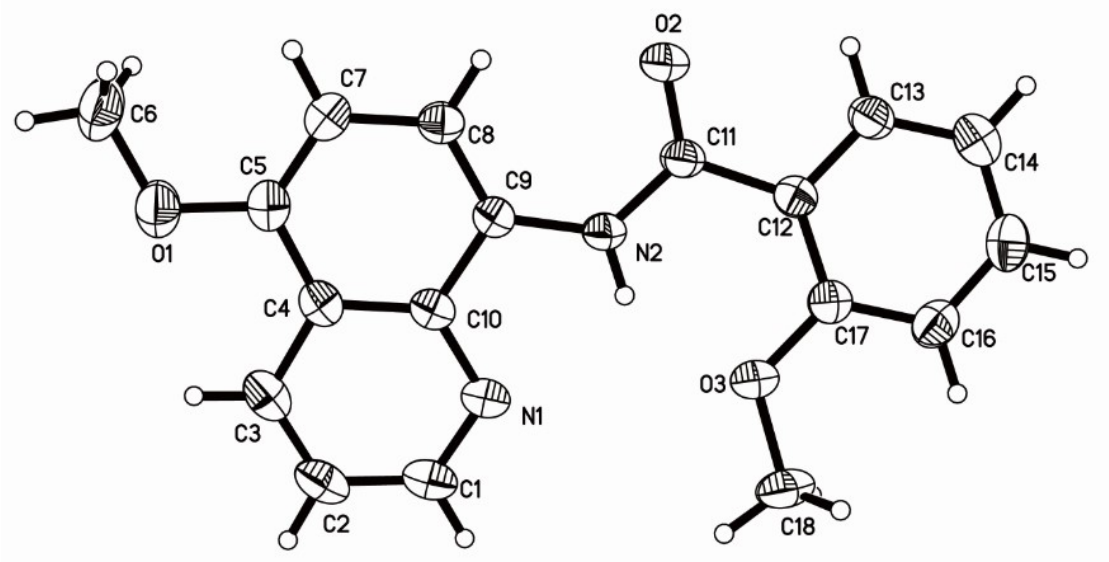


Figure S1. ORTEP representation of the molecular structure of **6d**.
The data have been assigned the following deposition numbers, **CCDC 1538795.**