

Selectfluor-mediated regioselective nucleophilic functionalization of *N*-heterocycles under metal- and base-free conditions

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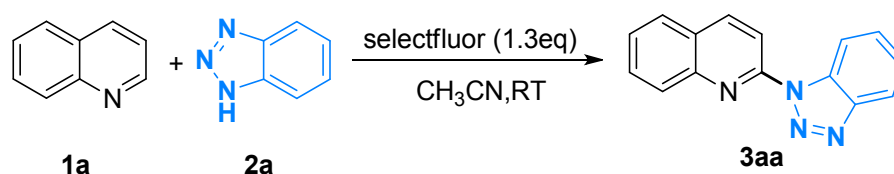
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1. General information

Unless otherwise specified, all reagents and solvents were obtained from commercial suppliers and used without further purification. All reagents were weighed and handled in air at room temperature. ^1H NMR spectra were recorded at 400 MHz and ^{13}C NMR spectra were recorded at 100 MHz by using a Bruker Avance 400 spectrometer. Chemical shifts were calibrated using residual undeuterated solvent as an internal reference (^1H NMR: CDCl_3 7.26 ppm, d^6 - DMSO 2.50 ppm CDCl_3 77.0 ppm, d^6 - DMSO 40.0 ppm). HRMS data were obtained on a Bruker micrOTOF Focus II (ESI Mode).

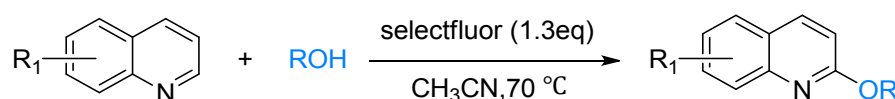
2. Experimental Section

a) Typical procedure for the synthesis of 3aa



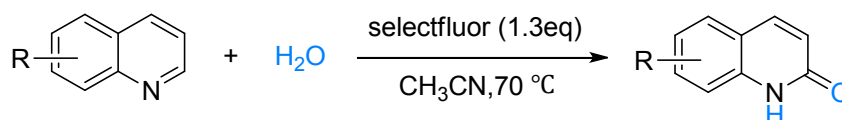
To a solution of CH_3CN (5 mL) was added 1a (64.5 mg, 0.5mmol), 1H-benzo[d][1,2,3]triazole 2a (90mg, 0.75 mmol) and Selectfluor (230mg, 0.65 mmol). The mixture was stirred at rt for about 6 – 8 h (monitored by TLC), quenched with water (5ml), extracted with CH_2Cl_2 (5×3 ml), and the organic extracts were dried over anhydrous Na_2SO_4 , filtered and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to give 3aa.

b) General procedures for the synthesis of 2-alkoxyquinolines (4aa -4ae, 4bb – 4bh)



To a solution of CH_3CN (5 mL) was added quinolines (0.5mmol), ROH (1.5 mmol) and selectfluor (230mg, 0.65 mmol). The mixture was stirred at 70 °C for about 12 h, the reaction was quenched with water (5ml), extracted with CH_2Cl_2 (5×3 ml), and the organic extracts were dried over anhydrous Na_2SO_4 , filtered and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to give 2-alkoxyquinolines.

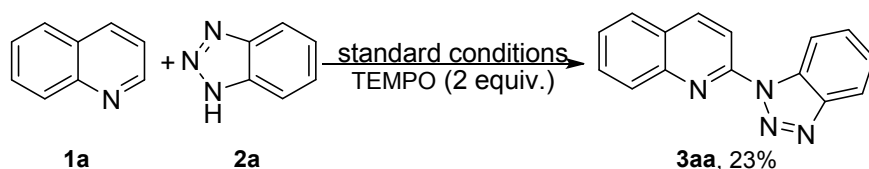
c) General procedures for the synthesis of quinolin-2(1H)-ones (5aa -5af)



To a solution of CH₃CN (3 mL) and H₂O (1 mL) was added quinolines (0.5mmol) and selectfluor (230mg, 0.65 mmol). The mixture was stirred at 70 °C for about 12 h, the reaction was quenched with water (4ml), extracted with CH₂Cl₂ (5×3 ml), and the organic extracts were dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to give quinolin-2(1*H*)-ones.

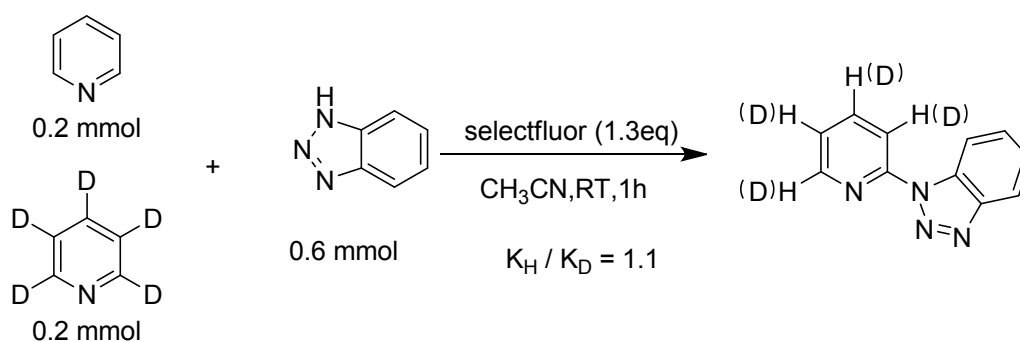
3. Mechanism studies

(a) Radical Inhibition Experiment

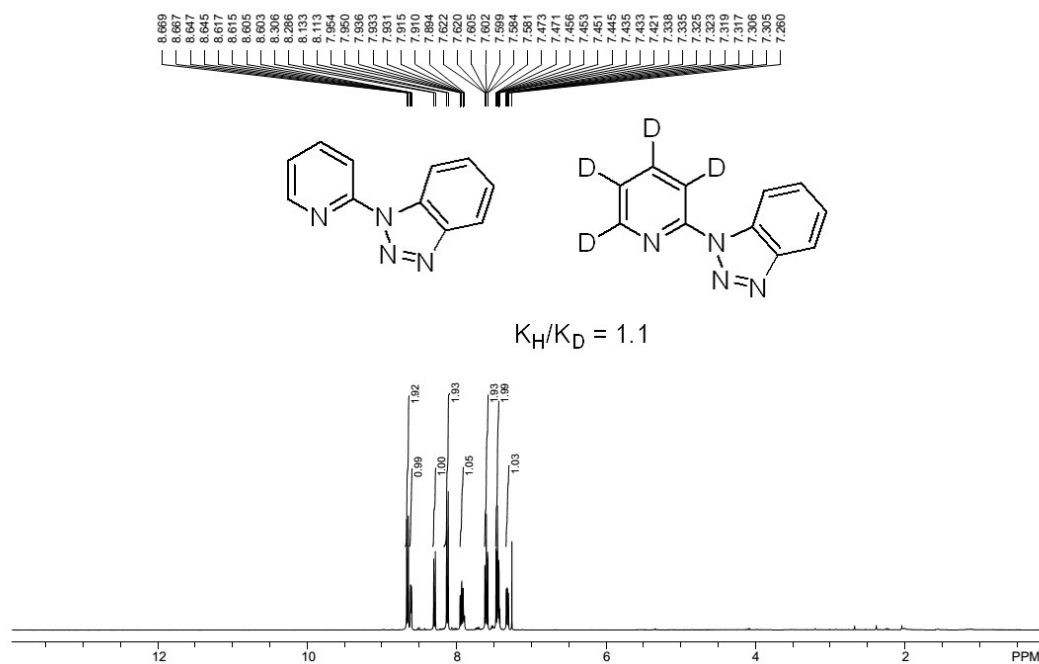


To a solution of CH₃CN (5 mL) was added **1a** (64.5 mg, 0.5mmol), 1*H*-benzo[*d*][1,2,3]triazole **2a** (90mg, 0.75 mmol), selectfluor (230mg, 0.65 mmol) and TEMPO (312mg, 1 mmol). The mixture was stirred at rt for about 8 h, the yield was 23% estimated by ¹H NMR using diethyl phthalate as internal reference.

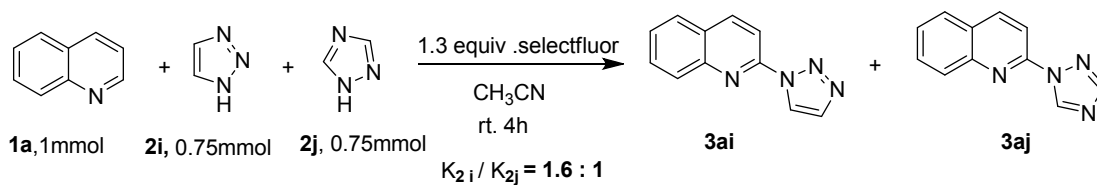
(b) KIE Experiment



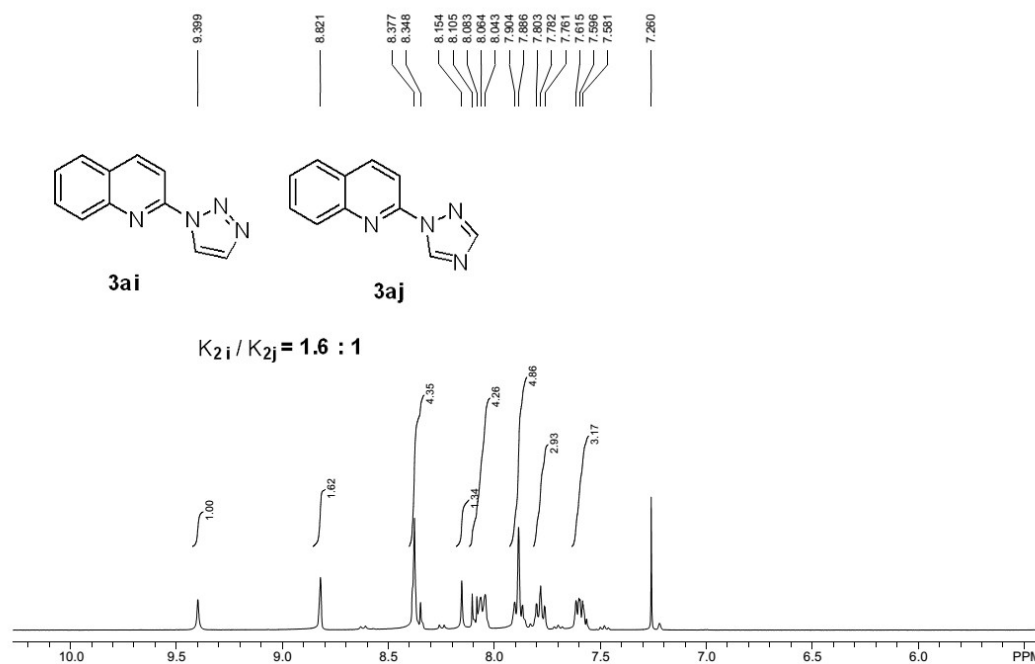
To a solution of CH₃CN (4 mL) was consecutively added Pyridine **1a** (0.2mmol), *d*5-pyridine **1a'** (0.2 mmol), 1*H*-benzo[*d*][1,2,3]triazole **2a** (0.6 mmol) and selectfluor (0.52 mmol). The mixture was stirred at rt for 1 h, then the reaction mixture was quenched by water (4ml), extracted with CH₂Cl₂ (5×3 ml), and dried over anhydrous Na₂SO₄. The solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography. ¹H NMR spectra copy was shown below:



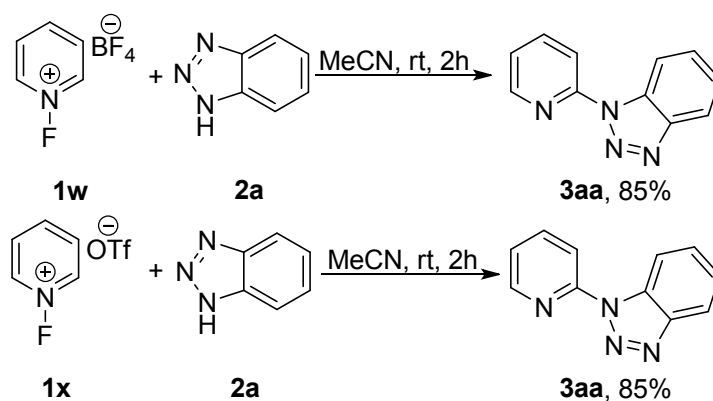
(c) Competition Reaction



To a solution of CH_3CN (4 mL) was consecutively added quinoline **1a** (1mmol), 1H-1,2,3-triazole (0.75 mmol), 1H-1,2,4-triazole (0.75 mmol) and selectfluor (1.3 mmol). The mixture was stirred at rt for 4 h, then the reaction mixture was quenched by water (4ml), extracted with CH_2Cl_2 (5×3 ml), and dried over anhydrous Na_2SO_4 . The solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography. ^1H NMR spectra copy was shown below:



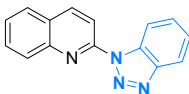
(d) Reaction of *N*-Fluoropyridinium salts with 1*H*-benzo[*d*][1,2,3]triazole



To a solution of CH₃CN (5 mL) was added **1w** or **1x** (0.5mmol), 1*H*-benzo[*d*][1,2,3]triazole **2a** (90mg, 0.75 mmol). The mixture was stirred at rt for about 2h (monitored by TLC), the reaction was quenched with water (5ml), extracted with CH₂Cl₂ (5×3 ml), and the organic extracts were dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to give **3aa** as a white solid.

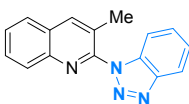
4. Characterization data of products

2-(1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3aa)¹



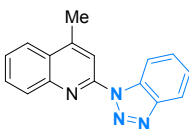
¹H NMR (400 MHz, CDCl₃) δ 8.95 (d, *J* = 8.4 Hz, 1 H), 8.47 (d, *J* = 8.8 Hz, 1 H), 8.36 (d, *J* = 8.8 Hz, 1 H), 8.16 – 8.13 (m, 2 H), 7.88 (d, *J* = 8.4 Hz, 1 H), 7.80 – 7.76 (m, 1 H), 7.69 – 7.66 (m, 1 H), 7.59 – 7.55 (m, 1 H), 7.50 (t, *J* = 7.6 Hz, 1 H); ¹³C NMR (100 MHz, CDCl₃) δ 150.4, 146.8, 146.4, 139.2, 131.5, 130.5, 129.0, 128.7, 127.8, 127.0, 126.6, 125.2, 119.8, 115.4, 113.3.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-3-methylquinoline (3ba)¹



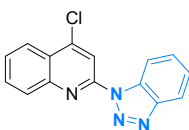
¹H NMR (400 MHz, CDCl₃) δ 8.28 (s, 1 H), 8.20 (dd, *J*₁ = 8.0 Hz, *J*₂ = 1.6 Hz, 2 H), 8.11 (d, *J* = 8.4 Hz, 1 H), 7.88 (d, *J* = 8.4 Hz, 1 H), 7.78 – 7.74 (m, 1 H), 7.64 – 7.59 (m, 2 H), 7.49 (t, *J* = 7.2 Hz, 1 H), 2.74 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 148.8, 145.3, 140.4, 129.8, 128.7, 128.5, 127.9, 127.5, 126.9, 126.5, 124.8, 119.7, 113.2, 19.8.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-4-methylquinoline (3ca)¹



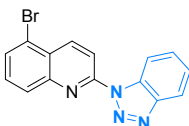
¹H NMR (400 MHz, CDCl₃) δ 8.95 (d, *J* = 8.4 Hz, 1 H), 8.34 (s, 1 H), 8.15 (d, *J* = 8.8 Hz, 2 H), 8.03 (d, *J* = 8.4 Hz, 1 H), 7.79 – 7.75 (m, 1 H), 7.68 – 7.64 (m, 1 H), 7.61 – 7.57 (m, 1 H), 7.51 – 7.47 (m, 1 H), 2.83 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 150.3, 147.9, 146.9, 146.5, 131.7, 130.2, 129.4, 128.9, 127.3, 126.4, 125.1, 124.0, 119.8, 115.5, 113.6, 19.1.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-4-chloroquinoline (3da)¹



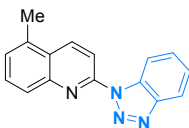
^1H NMR (400 MHz, CDCl_3) δ 8.89 (d, J = 8.0 Hz, 1 H), 8.60 (s, 1 H), 8.26 (d, J = 8.0 Hz, 1 H), 8.16 – 8.13 (m, 2 H), 7.85 – 7.81 (m, 1 H), 7.70 – 7.64 (m, 2 H), 7.52 – 7.48 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 149.8, 147.0, 146.9, 145.0, 131.4, 131.4, 129.2, 129.1, 127.5, 125.4, 125.3, 124.4, 120.0, 115.3, 113.4.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-5-bromoquinoline (3ea)¹



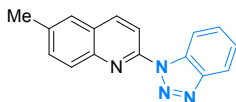
^1H NMR (400 MHz, CDCl_3) δ 8.94 (d, J = 8.4 Hz, 1 H), 8.77 (d, J = 8.8 Hz, 1 H), 8.60 (d, J = 8.8 Hz, 1 H), 8.19 – 8.13 (m, 2 H), 7.86 (d, J = 8.4 Hz, 1 H), 7.72 – 7.63 (m, 2 H), 7.54 – 7.50 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 150.9, 147.3, 146.9, 138.8, 131.5, 130.8, 130.3, 129.2, 128.6, 126.5, 125.4, 122.0, 119.9, 115.3, 114.5.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-5-methylquinoline (3fa)



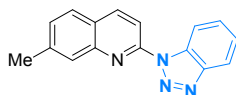
^1H NMR (400 MHz, CDCl_3) δ 8.95 (d, J = 8.0 Hz, 1 H), 8.53 – 8.45 (m, 2 H), 8.15 (d, J = 8.0 Hz, 1 H), 7.99 (d, J = 8.4 Hz, 1 H), 7.67 – 7.64 (m, 2 H), 7.50 (d, J = 8.0 Hz, 1 H), 7.38 (d, J = 6.8 Hz, 1 H), 2.73 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 150.1, 146.8, 146.8, 135.7, 134.9, 131.6, 130.3, 128.9, 127.2, 127.0, 126.4, 125.1, 119.7, 115.4, 112.8, 18.7; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{13}\text{N}_4$: 261.1135; found: 261.1139.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-methylquinoline (3ga)¹



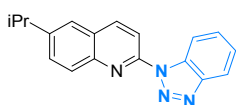
^1H NMR (400 MHz, CDCl_3) δ 8.94 (d, J = 8.8 Hz, 1 H), 8.43 (d, J = 8.8 Hz, 1 H), 8.26 (d, J = 8.8 Hz, 1 H), 8.15 (d, J = 8.4 Hz, 1 H), 8.04 (d, J = 8.4 Hz, 1 H), 7.68 – 7.59 (m, 3 H), 7.51 – 7.47 (m, 1 H), 2.56 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 149.8, 146.9, 145.0, 138.5, 136.7, 132.7, 131.6, 128.8, 128.5, 127.1, 126.7, 125.1, 119.8, 115.4, 113.4, 21.5.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-7-methylquinoline (3ha)¹



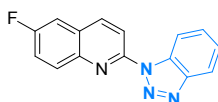
¹H NMR (400 MHz, CDCl₃) δ 8.94 (d, *J* = 8.4 Hz, 1 H), 8.38 (d, *J* = 8.8 Hz, 1 H), 8.28 (d, *J* = 8.8 Hz, 1 H), 8.14 (d, *J* = 8.4 Hz, 1 H), 7.92 (s, 1 H), 7.74 (d, *J* = 8.4 Hz, 1 H), 7.65 (t, *J* = 7.2 Hz, 1 H), 7.50 – 7.46 (m, 1 H), 7.40 – 7.37 (m, 1 H), 2.60 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 150.5, 146.9, 146.8, 141.0, 138.8, 131.6, 128.8, 127.9, 127.4, 125.1, 125.0, 119.8, 115.5, 112.4, 21.8.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-isopropylquinoline (3ia)



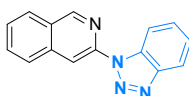
¹H NMR (400 MHz, CDCl₃) δ 8.93 (d, *J* = 8.4 Hz, 1 H), 8.42 (d, *J* = 8.8 Hz, 1 H), 8.30 (d, *J* = 8.8 Hz, 1 H), 8.14 (d, *J* = 8.4 Hz, 1 H), 8.07 (d, *J* = 8.8 Hz, 1 H), 7.70 – 7.64 (m, 3 H), 7.50 – 7.46 (m, 1 H), 3.16 – 3.09 (m, 1 H), 1.38 (d, *J* = 6.8 Hz, 6 H); ¹³C NMR (100 MHz, CDCl₃) δ 149.8, 147.4, 146.8, 145.2, 138.8, 131.5, 130.4, 128.8, 128.6, 127.1, 125.0, 123.9, 119.7, 115.4, 113.2, 34.0, 23.8; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₈H₁₇N₄: 289.1448; found: 289.1446.

2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-fluoroquinoline (3ja)



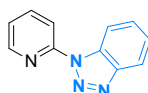
¹H NMR (400 MHz, CDCl₃) δ 8.91 (d, *J* = 8.4 Hz, 1 H), 8.52 (d, *J* = 8.8 Hz, 1 H), 8.32 (d, *J* = 8.8 Hz, 1 H), 8.17 – 8.13 (m, 2 H), 7.70 – 7.66 (m, 1 H), 7.59 – 7.48 (m, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 161.7, 159.3, 150.0, 146.9, 143.4, 138.5, 131.5, 131.2, 131.1, 129.0, 127.7, 127.6, 125.2, 120.7, 120.5, 119.9, 115.3, 114.3, 111.2, 111.0; ¹⁹F NMR (376 MHz, CDCl₃) δ -112.9; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₅H₁₀FN₄: 265.0884; found: 265.0885.

3-(1H-benzo[d][1,2,3]triazol-1-yl)isoquinoline (3ka)¹



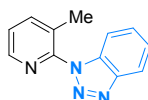
^1H NMR (400 MHz, CDCl_3) δ 9.25 (s, 1 H), 8.65 (d, J = 8.4 Hz, 1 H), 8.55 (s, 1 H), 8.13 (d, J = 8.0 Hz, 1 H), 8.02 (d, J = 8.4 Hz, 1 H), 7.94 (d, J = 8.4 Hz, 1 H), 7.76 – 7.70 (m, 1 H), 7.63 – 7.58 (m, 2 H), 7.44 (t, J = 8.0 Hz, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 151.7, 146.7, 140.7, 137.5, 131.9, 131.4, 128.6, 127.8, 127.7, 127.5, 127.1, 124.7, 119.8, 114.5, 110.0.

1-(pyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3la)²



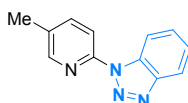
^1H NMR (400 MHz, CDCl_3) δ 8.58 (d, J = 8.4 Hz, 1 H), 8.52 (d, J = 4.4 Hz, 1 H), 8.22 (d, J = 8.4 Hz, 1 H), 8.07 (d, J = 8.4 Hz, 1 H), 7.87 – 7.82 (m, 1 H), 7.53 (t, J = 7.6 Hz, 1 H), 7.39 (t, J = 7.6 Hz, 1 H), 7.26 – 7.22 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 151.4, 148.1, 146.5, 138.6, 131.3, 128.6, 124.7, 122.1, 119.5, 114.7, 114.2.

1-(3-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ma)¹



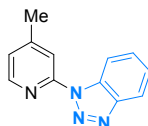
^1H NMR (400 MHz, CDCl_3) δ 8.52 (m, 1 H), 8.14 (dt, J_1 = 8.4 Hz, J_2 = 1.2 Hz, 1 H), 7.92 (dt, J_1 = 8.4 Hz, J_2 = 1.2 Hz, 1 H), 7.86 – 7.83 (m, 1 H), 7.58 – 7.54 (m, 1 H), 7.47 – 7.42 (m, 1 H), 7.39 – 7.36 (m, 1 H), 2.53 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 148.8, 146.4, 145.7, 141.5, 132.9, 128.8, 128.3, 124.5, 123.8, 119.7, 112.4, 18.9.

1-(5-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ma)¹



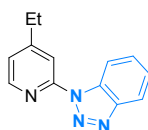
^1H NMR (400 MHz, CDCl_3) δ 8.62 (d, J = 8.8 Hz, 1 H), 8.43 (s, 1 H), 8.18 (d, J = 8.4 Hz, 1 H), 8.12 (d, J = 8.4 Hz, 1 H), 7.75 (dd, J_1 = 8.4 Hz, J_2 = 2.4 Hz, 1 H), 7.62 – 7.57 (m, 1 H), 7.47 – 7.43 (m, 1 H), 2.43 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 149.6, 148.3, 146.7, 139.4, 132.1, 131.5, 128.6, 124.8, 119.7, 114.6, 114.1, 18.0.

1-(4-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3na)¹



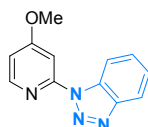
^1H NMR (400 MHz, CDCl_3) δ 8.64 (d, J = 8.4 Hz, 1 H), 8.45 (d, J = 4.8 Hz, 1 H), 8.12 – 8.10 (m, 2 H), 7.59 (t, J = 8.0 Hz, 1 H), 7.44 (t, J = 8.0 Hz, 1 H), 7.14 (d, J = 4.8 Hz, 1 H), 2.49 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 151.7, 150.5, 148.0, 146.7, 131.6, 128.7, 124.8, 123.5, 119.7, 114.9, 114.8, 21.3.

1-(4-ethylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (30a)



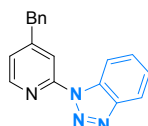
^1H NMR (400 MHz, CDCl_3) δ 8.64 (d, J = 8.4 Hz, 1 H), 8.48 (d, J = 5.2 Hz, 1 H), 8.14 – 8.10 (m, 2 H), 7.61 – 7.57 (m, 1 H), 7.46 – 7.42 (m, 1 H), 7.17– 7.15 (m, 1 H), 2.80 (q, J = 7.6 Hz, 2 H), 1.34 (t, J = 7.6 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 156.4, 151.8, 148.1, 146.7, 131.6, 128.6, 124.8, 122.3, 119.7, 114.8, 113.8, 28.5, 14.2; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{13}\text{H}_{13}\text{N}_4$: 225.1135; found: 225.1131.

1-(4-methoxypyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3pa)¹



^1H NMR (400 MHz, CDCl_3) δ 8.66 (d, J = 8.8 Hz, 1 H), 8.40 (s, 1 H), 8.12 (d, J = 7.6 Hz, 1 H), 7.82 (s, 1 H), 7.60 (t, J = 6.8 Hz, 1 H), 7.45 (t, J = 7.6 Hz, 1 H), 6.86 (s, 1H), 3.99 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 167.7, 153.3, 149.2, 131.7, 128.8, 124.9, 119.7, 115.0, 110.4, 99.0, 55.7.

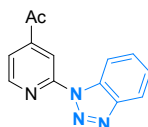
1-(4-benzylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3qa)



^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, J = 7.6 Hz, 1 H), 8.42 (s, 1 H), 8.09 (s, 1 H), 8.04 (d, J = 8.0 Hz, 1 H), 7.52 (t, J = 6.8 Hz, 1 H), 7.37 (t, J = 6.8 Hz, 1 H), 7.27 – 7.19 (m, 5H), 7.07 (s, 1 H), 4.04 (s, 2 H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.5, 151.9, 148.3, 138.3, 131.6, 129.1, 128.9, 128.7, 126.9, 124.8,

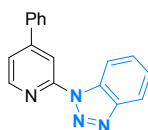
123.0, 119.7, 114.8, 114.6, 41.5; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{18}H_{15}N_4$: 287.1291; found: 287.1287.

1-(2-(1H-benzo[d][1,2,3]triazol-1-yl)pyridin-4-yl)ethanone (3ra)



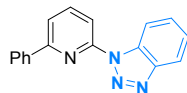
1H NMR (400 MHz, $CDCl_3$) δ 8.78 (d, J = 5.2 Hz, 1 H), 8.75 (s, 1H), 8.66 (d, J = 8.4 Hz, 1 H), 8.15 (d, J = 8.4 Hz, 1 H), 7.78 (dd, J_1 = 5.2 Hz, J_2 = 1.2 Hz, 1 H), 7.66 – 7.62 (m, 1 H), 7.51 – 7.47 (m, 1 H), 2.75 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 196.3, 152.8, 149.5, 146.8, 145.7, 131.5, 129.2, 125.2, 120.0, 119.4, 114.8, 112.8, 26.9; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{13}H_{11}N_4O$: 239.0927; found: 239.0933.

1-(4-phenylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3sa)



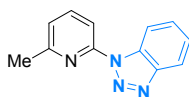
1H NMR (400 MHz, $CDCl_3$) δ 8.70 (d, J = 8.0 Hz, 1 H), 8.64 (d, J = 5.2 Hz, 1 H), 8.54 (s, 1 H), 8.14 (d, J = 8.4 Hz, 1 H), 7.78 – 7.76 (m, 2 H), 7.62 (t, J = 8.0 Hz, 1 H), 7.56 – 7.45 (m, 5 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.3, 151.5, 148.7, 146.7, 137.3, 131.6, 129.6, 129.2, 128.8, 127.1, 124.9, 120.4, 119.8, 114.9, 112.1; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{17}H_{13}N_4$: 273.1135; found: 273.1128.

1-(6-phenylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ta)



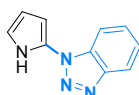
1H NMR (400 MHz, $CDCl_3$) δ 8.75 (d, J = 8.8 Hz, 1 H), 8.22 (d, J = 8.4 Hz, 1 H), 8.14 (d, J = 8.4 Hz, 1 H), 8.10 – 8.07 (m, 2 H), 7.95 (t, J = 8.0 Hz, 1 H), 7.72 (d, J = 8.0 Hz, 1 H), 7.64 – 7.60 (m, 1 H), 7.57 – 7.52 (m, 2 H), 7.50 – 7.43 (m, 2 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.4, 151.4, 146.7, 139.6, 138.2, 131.4, 129.5, 128.9, 128.8, 126.9, 124.8, 119.7, 118.6, 114.7, 112.6; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{17}H_{13}N_4$: 273.1135; found: 273.1130.

1-(6-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ua)³



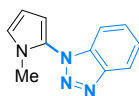
^1H NMR (400 MHz, CDCl_3) δ 8.68 (d, $J = 8.4$ Hz, 1 H), 8.13 – 8.07 (m, 2 H), 7.81 (t, $J = 8.0$ Hz, 1 H), 7.60 (t, $J = 8.0$ Hz, 1 H), 7.45 (t, $J = 8.0$ Hz, 1 H), 7.17 (d, $J = 7.6$ Hz, 1 H), 2.68 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 157.8, 151.0, 146.7, 139.0, 131.5, 128.6, 124.8, 121.7, 119.7, 115.0, 111.2, 24.2.

1-(1H-pyrrol-2-yl)-1H-benzo[d][1,2,3]triazole (3va)⁴



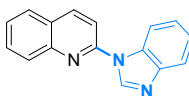
^1H NMR (400 MHz, CDCl_3) δ 9.77 (s, 1 H), 8.03 (d, $J = 8.0$ Hz, 1 H), 7.69 (d, $J = 8.0$ Hz, 1 H), 7.54 – 7.50 (m, 1 H), 7.41 – 7.37 (m, 1 H), 6.91 – 6.89 (m, 1 H), 6.46 – 6.44 (m, 1 H), 6.38 – 6.35 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 145.5, 132.0, 128.4, 124.7, 124.6, 119.8, 117.0, 110.5, 108.9, 99.6.

1-(1-methyl-1H-pyrrol-2-yl)-1H-benzo[d][1,2,3]triazole (3wa)¹



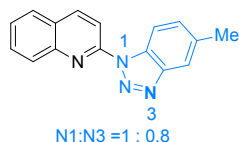
^1H NMR (400 MHz, CDCl_3) δ 8.10 (d, $J = 8.4$ Hz, 1 H), 7.51 – 7.40 (m, 3 H), 6.77 – 6.76 (m, 1 H), 6.38 – 6.36 (m, 1 H), 6.28 – 6.26 (m, 1 H), 3.44 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 145.0, 134.7, 128.4, 124.3, 123.3, 122.3, 119.8, 110.1, 107.3, 105.8, 33.3.

2-(1H-benzo[d]imidazol-1-yl)quinolone (3ab)¹



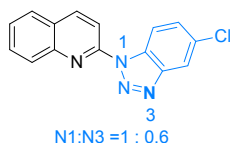
^1H NMR (400 MHz, CDCl_3) δ 8.68 (s, 1 H), 8.38 (d, $J = 8.0$ Hz, 1 H), 8.28 (d, $J = 8.8$ Hz, 1 H), 8.09 (d, $J = 8.4$ Hz, 1 H), 7.89 (d, $J = 7.6$ Hz, 1 H), 7.82 (d, $J = 8.0$ Hz, 1 H), 7.78 -7.74 (m, 1 H), 7.64 (d, $J = 8.8$ Hz, 1 H), 7.56 – 7.52 (m, 1 H), 7.45 – 7.36 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3) δ 148.4, 147.0, 144.5, 141.2, 139.4, 132.2, 130.7, 128.6, 127.5, 126.5, 126.4, 124.4, 123.5, 120.4, 113.7, 113.0;

2-(5-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3ac)



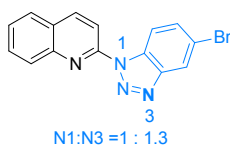
^1H NMR (400 MHz, CDCl_3) δ 8.64 (d, J = 8.4 Hz, 1 H), 8.54 (s, 0.83 H), 8.30 (d, J = 8.8 Hz, 1.95 H), 8.19 – 8.16 (m, 1.95 H), 8.03 – 7.97 (m, 2.03 H), 7.88 (d, J = 8.4 Hz, 0.88 H), 7.76 (s, 1.08 H), 7.71 (d, J = 8.0 Hz, 2.01 H), 7.65 – 7.63 (m, 1.99 H), 7.44 – 7.42 (m, 1.99 H), 7.34 (d, J = 8.4 Hz, 1.03 H), 7.18 (d, J = 7.2 Hz, 0.99 H), 2.51 (s, 2.97 H), 2.44 (s, 3.04 H); ^{13}C NMR (100 MHz, CDCl_3) δ 150.3, 147.3, 146.3, 145.4, 139.6, 139.0, 138.9, 135.1, 131.8, 130.9, 130.3, 129.8, 128.6, 127.6, 127.6, 127.2, 126.8, 126.5, 126.4, 119.1, 118.6, 114.8, 114.5, 113.3, 113.1, 22.2, 21.4; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{13}\text{N}_4$: 261.1135; found: 261.1126.

2-(5-chloro-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3ad)⁵



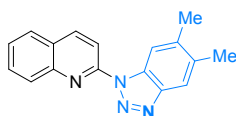
^1H NMR (400 MHz, CDCl_3) δ 8.94 (d, J = 2.0 Hz, 1 H), 8.86 (d, J = 8.8 Hz, 0.58 H), 8.42 (dd, J_1 = 8.8 Hz, J_2 = 2.4 Hz, 1.73 H), 8.34 (d, J = 8.8 Hz, 1.72 H), 8.16 – 8.09 (m, 2.31 H), 8.04 (d, J = 8.8 Hz, 1.04 H), 7.87 (d, J = 8.0 Hz, 1.80 H), 7.80 – 7.75 (m, 1.74 H), 7.61 – 7.56 (m, 2.35 H), 7.44 (dd, J_1 = 8.8 Hz, J_2 = 2.0 Hz, 1.01 H); ^{13}C NMR (100 MHz, CDCl_3) δ 150.0, 147.4, 146.3, 145.3, 139.4, 139.3, 135.3, 132.0, 130.9, 129.7, 128.8, 128.7, 127.8, 127.7, 127.0, 126.9, 126.3, 120.6, 119.1, 116.4, 115.3, 113.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{10}\text{ClN}_4$: 281.0589; found: 281.0583.

2-(5-bromo-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3ae)



^1H NMR (400 MHz, CDCl_3) δ 9.09 (d, J = 1.6 Hz, 1 H), 8.78 (d, J = 8.8 Hz, 1.34 H), 8.40 – 8.37 (m, 2.57 H), 8.32 (d, J = 8.8 Hz, 2.54 H), 8.26 (d, J = 0.9 Hz, 1.36 H), 8.13 – 8.07 (m, 3.14 H), 7.97 (d, J = 8.8 Hz, 1.15 H), 7.85 (d, J = 8.0 Hz, 2.86 H), 7.79 – 7.69 (m, 4.33 H), 7.58 – 7.50 (m, 4.42 H); ^{13}C NMR (100 MHz, CDCl_3) δ 149.9, 147.8, 146.2, 145.5, 139.3, 139.3, 132.3, 132.2, 130.7, 130.6, 130.4, 128.9, 128.7, 128.7, 127.8, 127.7, 126.9, 123.5, 122.3, 120.7, 118.4, 118.3, 116.7, 113.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{10}\text{BrN}_4$: 325.0083; found: 325.0086.

2-(5,6-dimethyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3af)³



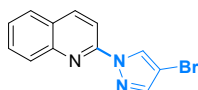
¹H NMR (400 MHz, CDCl₃) δ 8.66 (s, 1 H), 8.44 (d, *J* = 8.8 Hz, 1 H), 8.33 (d, *J* = 8.8 Hz, 1 H), 8.16 (d, *J* = 8.4 Hz, 1 H), 7.88 – 7.86 (m, 2 H), 7.80 – 7.76 (m, 1 H), 7.58 – 7.54 (m, 1 H), 2.52 (s, 3 H), 2.44 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 150.5, 146.5, 146.0, 139.4, 139.0, 134.9, 130.4, 128.7, 127.7, 126.9, 126.5, 118.9, 114.7, 113.4, 21.2, 20.5.

2-(1H-pyrazol-1-yl)quinolone (3ag)⁶



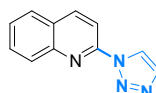
¹H NMR (400 MHz, CDCl₃) δ 8.80 (dd, *J*₁ = 2.4 Hz, *J*₂ = 0.8 Hz, 1 H), 8.26 – 8.19 (m, 2 H), 8.02 – 7.99 (m, 1 H), 7.82 – 7.80 (m, 2 H), 7.73 – 7.69 (m, 1 H), 7.51 – 7.47 (m, 1 H), 6.53 – 6.52 (m, 1 H); ¹³C NMR (100 MHz, CDCl₃) δ 150.1, 146.5, 142.2, 138.9, 130.2, 128.4, 127.6, 127.3, 127.0, 125.8, 112.2, 108.2.

2-(4-bromo-1H-pyrazol-1-yl)quinolone (3ah)



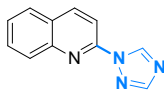
¹H NMR (400 MHz, CDCl₃) δ 8.79 (s, 1 H), 8.23 (d, *J* = 8.8 Hz, 1 H), 8.10 (d, *J* = 8.8 Hz, 1 H), 7.96 (d, *J* = 8.0 Hz, 1 H), 7.80 (dd, *J*₁ = 8.0 Hz, *J*₂ = 1.2 Hz, 1 H), 7.73 – 7.69 (m, 2 H), 7.52 – 7.48 (m, 1 H); ¹³C NMR (100 MHz, CDCl₃) δ 149.2, 146.3, 142.5, 139.1, 130.4, 128.4, 127.6, 127.4, 127.1, 126.1, 111.4, 96.9; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₂H₉BrN₃: 273.9974; found: 273.9972.

2-(1H-1,2,3-triazol-1-yl)quinolone (3ai)⁷



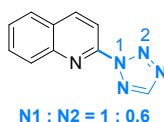
¹H NMR (400 MHz, CDCl₃) δ 8.81 (d, *J* = 1.2 Hz, 1 H), 8.36 (s, 2 H), 8.04 (d, *J* = 8.4 Hz, 1 H), 7.89 – 7.87 (m, 2 H), 7.79 – 7.75 (m, 1 H), 7.60 – 7.56 (m, 1 H); ¹³C NMR (100 MHz, CDCl₃) δ 147.8, 146.3, 139.6, 134.3, 130.7, 128.8, 127.8, 127.8, 127.0, 121.2, 112.7.

2-(1H-1,2,4-triazol-1-yl)quinolone (3aj)⁷



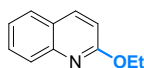
^1H NMR (400 MHz, CDCl_3) δ 9.32 (s, 1 H), 8.36 (d, J = 8.8 Hz, 1 H), 8.15 (s, 1 H), 8.10 – 8.03 (m, 2 H), 7.88 (d, J = 8.0 Hz, 1 H), 7.80 – 7.76 (m, 1 H), 7.60 – 7.56 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 152.8, 148.0, 146.3, 141.9, 139.8, 130.8, 128.6, 127.8, 127.6, 126.8, 112.2.

2-(2H-tetrazol-2-yl)quinoline (3ak)



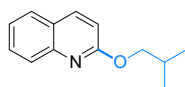
^1H NMR (400 MHz, CDCl_3) δ 9.73 (s, 1 H), 8.78 (s, 0.63 H), 8.47 – 8.43 (m, 1.94 H), 8.31 – 8.26 (m, 1.57 H), 8.19 (d, J = 8.8 Hz, 1.07 H), 8.06 (d, J = 8.8 Hz, 1.14 H), 7.94 – 7.90 (m, 2.00 H), 7.85 – 7.80 (m, 1.98 H), 7.68 – 7.62 (m, 1.96 H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.4, 147.0, 146.5, 146.2, 145.4, 140.5, 140.2, 140.2, 131.3, 131.3, 129.7, 128.9, 128.4, 128.2, 128.1, 127.9, 127.9, 127.7, 113.2, 112.6; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{10}\text{H}_8\text{N}_5$: 198.0774; found: 198.0769.

2-ethoxyquinoline (4aa)⁸

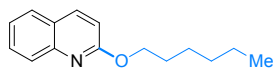


^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, J = 8.8 Hz, 1 H), 7.85 (d, J = 8.0 Hz, 1 H), 7.70 (d, J = 8.0 Hz, 1 H), 7.64 – 7.60 (m, 1 H), 7.39 – 7.35 (m, 1 H), 6.89 (d, J = 8.8 Hz, 1 H), 4.54 (q, J = 7.2 Hz, 2 H), 1.46 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.1, 146.6, 138.6, 129.4, 127.4, 127.1, 125.0, 123.8, 113.2, 61.6, 14.6.

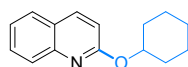
2-isobutoxyquinoline (4ab)⁹



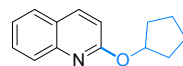
^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 8.8 Hz, 1 H), 7.87 (d, J = 8.4 Hz, 1 H), 7.70 (d, J = 8.0 Hz, 1 H), 7.65 – 7.61 (m, 1 H), 7.39 – 7.35 (m, 1 H), 6.92 (d, J = 8.8 Hz, 1 H), 4.28 (d, J = 6.4 Hz, 2 H), 2.17 (q, J = 6.8 Hz, 1 H), 1.09 (d, J = 6.8 Hz, 6 H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.4, 146.6, 138.5, 129.3, 127.3, 127.1, 125.0, 123.8, 113.3, 72.1, 28.0, 19.4.

2-(hexyloxy)quinolone (4ac)

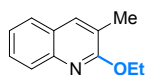
^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, J = 8.8 Hz, 1 H), 7.84 (d, J = 8.4 Hz, 1 H), 7.70 (d, J = 8.4 Hz, 1 H), 7.64 – 7.59 (m, 1 H), 7.39 – 7.34 (m, 1 H), 6.90 (d, J = 8.8 Hz, 1 H), 4.47 (t, J = 6.4 Hz, 2 H), 1.87 – 1.80 (m, 2 H), 1.52 – 1.48 (m, 2 H), 1.40 – 1.36 (m, 4 H), 0.92 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.3, 146.6, 138.5, 129.4, 127.4, 127.1, 125.0, 123.8, 113.3, 66.0, 31.6, 29.0, 25.8, 22.6, 14.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{20}\text{NO}$: 230.1539; found: 230.1544.

2-(cyclohexyloxy)quinolone (4ad)

^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, J = 8.8 Hz, 1 H), 7.81 (d, J = 8.4 Hz, 1 H), 7.69 (d, J = 8.0 Hz, 1 H), 7.62 – 7.58 (m, 1 H), 7.37 – 7.33 (m, 1 H), 6.86 (d, J = 8.8 Hz, 1 H), 5.35 – 5.29 (m, 1 H), 2.12 – 2.08 (m, 2 H), 1.84 – 1.79 (m, 2 H), 1.64 – 1.47 (m, 6 H); ^{13}C NMR (100 MHz, CDCl_3) δ 161.6, 146.6, 138.5, 129.3, 127.3, 127.1, 124.9, 123.6, 113.8, 73.0, 31.8, 25.7, 24.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{18}\text{NO}$: 228.1383; found: 228.1382.

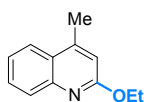
2-(cyclopentyloxy)quinolone (4ae)

^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, J = 8.8 Hz, 1 H), 7.85 (d, J = 8.4 Hz, 1 H), 7.69 (d, J = 8.0 Hz, 1 H), 7.63 – 7.58 (m, 1 H), 7.37 – 7.33 (m, 1 H), 6.85 (d, J = 8.8 Hz, 1 H), 5.69 – 5.64 (m, 1 H), 2.11 – 2.05 (m, 2 H), 1.88 – 1.80 (m, 4 H), 1.68 – 1.64 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3) δ 161.9, 146.6, 138.5, 129.3, 127.3, 127.2, 124.8, 123.7, 113.7, 77.9, 32.9, 24.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{14}\text{H}_{16}\text{NO}$: 214.1226; found: 214.1219.

2-ethoxy-3-methylquinoline (4bb)

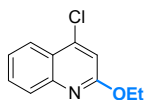
^1H NMR (400 MHz, CDCl_3) δ 7.70 (d, J = 8.4 Hz, 1 H), 7.57 (s, 1 H), 7.48 (d, J = 7.6 Hz, 1 H), 7.43 – 7.40 (m, 1 H), 7.21 – 7.18 (m, 1 H), 4.43 (q, J = 7.2 Hz, 2 H), 2.18 (s, 3 H), 1.34 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 161.2, 145.4, 136.7, 128.1, 126.7, 126.5, 125.4, 123.6, 122.6, 61.6, 16.5, 14.6; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{12}\text{H}_{14}\text{NO}$: 188.1070; found: 188.1071.

2-ethoxy-4-methylquinoline (4bc)¹⁰



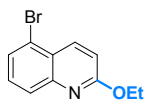
¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.4 Hz, 1 H), 7.84 (d, *J* = 8.0 Hz, 1 H), 7.63 – 7.59 (m, 1 H), 7.40 – 7.36 (m, 1 H), 6.74 (s, 1 H), 4.54 (q, *J* = 7.2 Hz, 2 H), 2.59 (s, 3 H), 1.45 (t, *J* = 7.2 Hz, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 161.9, 146.8, 146.3, 129.2, 127.5, 125.2, 123.6, 123.6, 113.1, 61.5, 18.6, 14.6.

4-chloro-2-ethoxyquinoline (4bd)¹¹



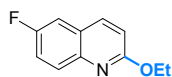
¹H NMR (400 MHz, CDCl₃) δ 8.09 (dd, *J*₁ = 8.4 Hz, *J*₂ = 1.2 Hz, 1 H), 7.84 (d, *J* = 8.4 Hz, 1 H), 7.67 – 7.63 (m, 1 H), 7.45 – 7.41 (m, 1 H), 7.01 (s, 1 H), 4.54 (q, *J* = 7.2 Hz, 2 H), 1.45 (t, *J* = 7.2 Hz, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 161.5, 147.0, 143.5, 130.3, 127.5, 124.5, 123.9, 123.1, 113.0, 62.0, 14.5.

5-bromo-2-ethoxyquinoline (4be)



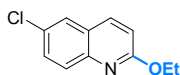
¹H NMR (400 MHz, CDCl₃) δ 8.34 (d, *J* = 9.2 Hz, 1 H), 7.77 (d, *J* = 8.4 Hz, 1 H), 7.61 (dd, *J*₁ = 7.6 Hz, *J*₂ = 0.8 Hz, 1 H), 7.43 (t, *J* = 8.0 Hz, 1 H), 6.95 (d, *J* = 9.2 Hz, 1 H), 4.53 (q, *J* = 7.2 Hz, 2 H), 1.45 (t, *J* = 7.2 Hz, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 162.5, 147.6, 137.9, 129.7, 127.7, 127.1, 124.4, 121.7, 114.5, 62.0, 14.5; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₁H₁₁BrNO: 252.0019; found: 252.0011.

2-ethoxy-6-fluoroquinoline (4bf)



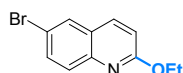
¹H NMR (400 MHz, CDCl₃) δ 7.90 (d, *J* = 8.8 Hz, 1 H), 7.82 – 7.79 (m, 1 H), 7.39 – 7.31 (m, 2 H), 6.91 (d, *J* = 8.8 Hz, 1 H), 4.51 (q, *J* = 7.2 Hz, 2 H), 1.45 (t, *J* = 7.2 Hz, 3 H); ¹³C NMR (100 MHz, CDCl₃) δ 161.7 (d, *J*_{C-F} = 2.2 Hz), 158.9 (d, *J*_{C-F} = 242.1 Hz), 143.4, 137.8 (d, *J*_{C-F} = 4.3 Hz), 129.1 (d, *J*_{C-F} = 8.8 Hz), 125.2 (d, *J*_{C-F} = 9.5 Hz), 118.8 (d, *J*_{C-F} = 24.8 Hz), 114.2, 110.9 (d, *J*_{C-F} = 21.9 Hz), 61.8, 14.5; ¹⁹F NMR (376 MHz, CDCl₃) δ -118.1; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₁H₁₁FNO: 192.0819; found: 192.0814.

6-chloro-2-ethoxyquinoline (4bg)



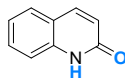
^1H NMR (400 MHz, CDCl_3) δ 7.88 (d, J = 8.8 Hz, 1 H), 7.75 (d, J = 8.8 Hz, 1 H), 7.67 (d, J = 2.4 Hz, 1 H), 7.54 (dd, J_1 = 8.8 Hz, J_2 = 2.0 Hz, 1 H), 6.89 (d, J = 9.2 Hz, 1 H), 4.51 (q, J = 7.2 Hz, 2 H), 1.44 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.3, 145.0, 137.6, 130.0, 129.2, 128.7, 126.2, 125.6, 114.3, 61.9, 14.5; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{11}\text{H}_{11}\text{ClNO}$: 208.0524; found: 208.0518.

6-bromo-2-ethoxyquinoline (4bh)



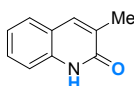
^1H NMR (400 MHz, CDCl_3) δ 7.83 – 7.80 (m, 2 H), 7.69 – 7.63 (m, 2 H), 6.87 (d, J = 8.8 Hz, 1 H), 4.50 (q, J = 7.2 Hz, 2 H), 1.44 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.2, 145.3, 137.4, 132.5, 129.4, 128.9, 126.1, 116.9, 114.2, 61.8, 14.5; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{11}\text{H}_{11}\text{BrNO}$: 252.0019; found: 252.0014.

quinolin-2(1H)-one (5aa)¹²



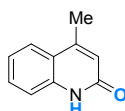
^1H NMR (400 MHz, d^6 - DMSO): δ = 11.77 (s, 1 H), 7.89 (d, J = 9.6 Hz, 1 H), 7.64 (dd, J = 7.6 Hz, 1.2 Hz, 1 H), 7.51 – 7.46 (m, 1 H), 7.30 (d, J = 8.0 Hz, 1 H), 7.18 – 7.14 (m, 1 H), 6.49 (s, 1 H); ^{13}C NMR (100 MHz, d^6 - DMSO): δ = 162.5, 140.8, 139.4, 130.9, 128.4, 122.4, 122.3, 119.6, 115.6.

3-methylquinolin-2(1H)-one (5ab)¹²



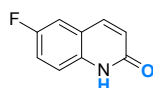
^1H NMR (400 MHz, d^6 - DMSO): δ = 11.75 (s, 1 H), 7.76 (s, 1 H), 7.56 (d, J = 8.4 Hz, 1 H), 7.44 - 7.40 (m, 1 H), 7.27 (d, J = 8.0 Hz, 1 H), 7.16 – 7.12 (m, 1 H), 2.08 (s, 3 H); ^{13}C NMR (100 MHz, d^6 - DMSO): δ = 162.9, 138.4, 136.9, 130.3, 129.6, 127.4, 122.1, 120.0, 115.2, 17.1.

4-methylquinolin-2(1H)-one (5ac)¹³



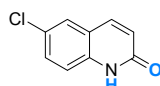
^1H NMR (400 MHz, d^6 - DMSO): δ = 11.61 (s, 1 H), 7.70 (d, J = 7.2 Hz, 1 H), 7.49 (t, J = 8.0 Hz, 1 H), 7.30 (d, J = 8.0 Hz, 1 H), 7.19 (t, J = 8.0 Hz, 1 H), 6.39 (s, 1 H), 2.41 (s, 3 H); ^{13}C NMR (100 MHz, d^6 - DMSO): δ = 162.1, 148.4, 139.2, 130.8, 125.2, 122.2, 121.4, 120.1, 115.9, 19.0.

6-fluoroquinolin-2(1H)-one (5ad)¹²



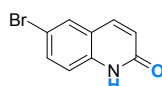
¹H NMR (400 MHz, d⁶ - DMSO): δ = 11.83 (s, 1 H), 7.87 (d, J = 9.6 Hz, 1 H), 7.54 – 7.51 (m, 1 H), 7.42 – 7.37 (m, 1 H), 7.33 – 7.29 (m, 1 H), 6.56 (d, J = 9.6 Hz, 1 H); ¹³C NMR (100 MHz, d⁶ - DMSO): δ = 162.2, 157.4 (d, J_{C-F} = 236.3 Hz), 139.9 (d, J_{C-F} = 3 Hz), 136.1 (d, J_{C-F} = 1.5 Hz), 123.7, 120.3 (d, J_{C-F} = 8.7 Hz), 118.9 (d, J_{C-F} = 24.0 Hz), 117.4 (d, J_{C-F} = 8.0 Hz), 113.2 (d, J_{C-F} = 22.6 Hz).

6-chloroquinolin-2(1H)-one (5ae)¹⁴



¹H NMR (400 MHz, d⁶ - DMSO): δ = 11.89 (s, 1 H), 7.87 (d, J = 9.6 Hz, 1 H), 7.77 (d, J = 2.4 Hz, 1 H), 7.53 – 7.51 (m, 1 H), 7.29 (d, J = 8.8 Hz, 1 H), 6.56 (d, J = 9.6 Hz, 1 H); ¹³C NMR (100 MHz, d⁶ - DMSO): δ = 162.2, 139.7, 138.1, 130.7, 127.4, 126.1, 123.7, 120.8, 117.5.

6-bromoquinolin-2(1H)-one (5af)¹⁵



¹H NMR (400 MHz, d⁶ - DMSO): δ = 11.88 (s, 1 H), 7.93 (d, J = 2.0 Hz, 1 H), 7.88 (d, J = 9.6 Hz, 1 H), 7.65 (dd, J_1 = 8.8 Hz, J_2 = 2.4 Hz, 1 H), 7.24 (d, J = 8.8 Hz, 1 H), 6.55 (d, J = 9.6 Hz, 1 H); ¹³C NMR (100 MHz, d⁶ - DMSO): δ = 162.2, 139.7, 138.5, 133.4, 130.4, 123.7, 121.3, 117.8, 113.8.

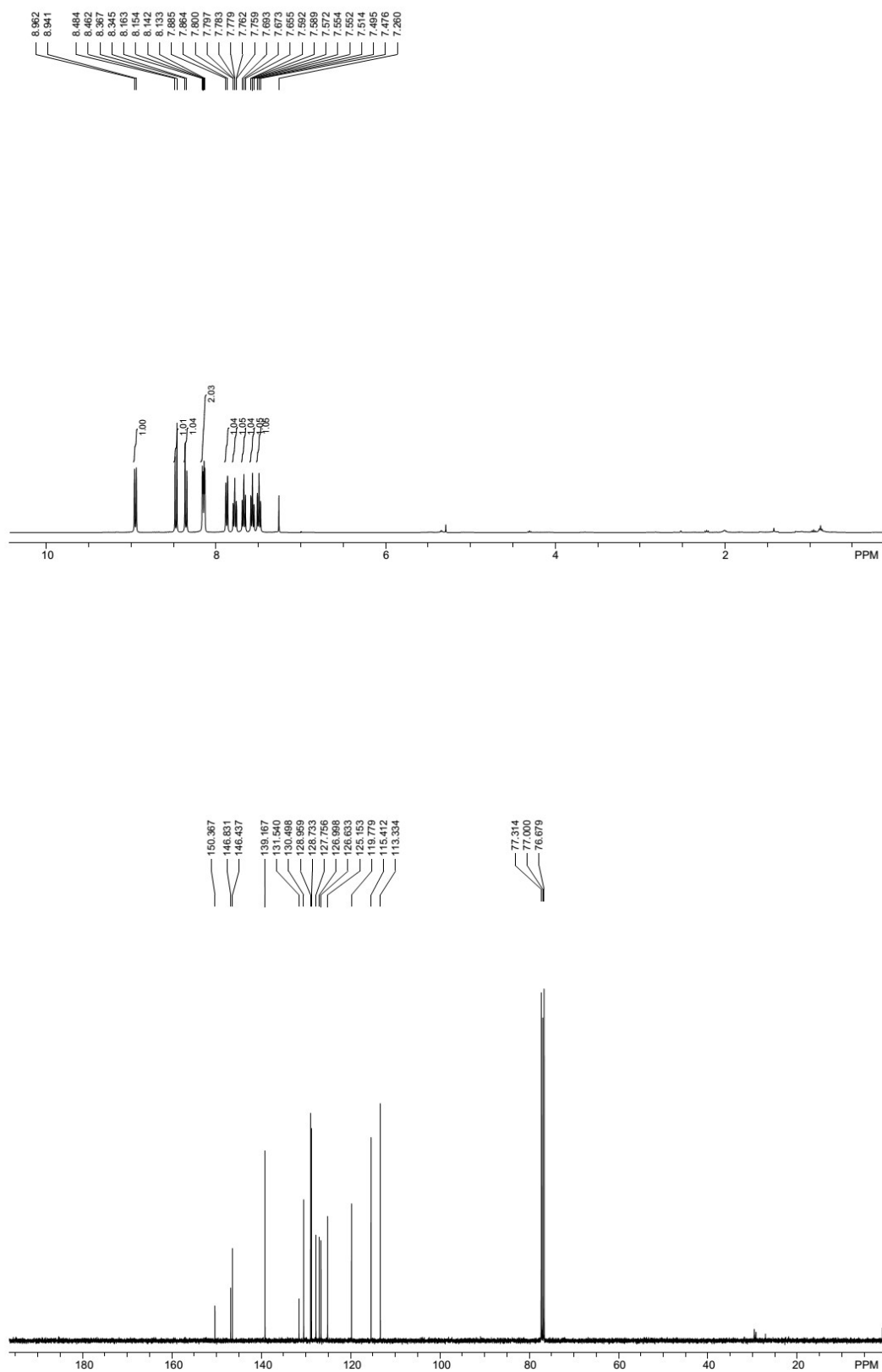
5. References

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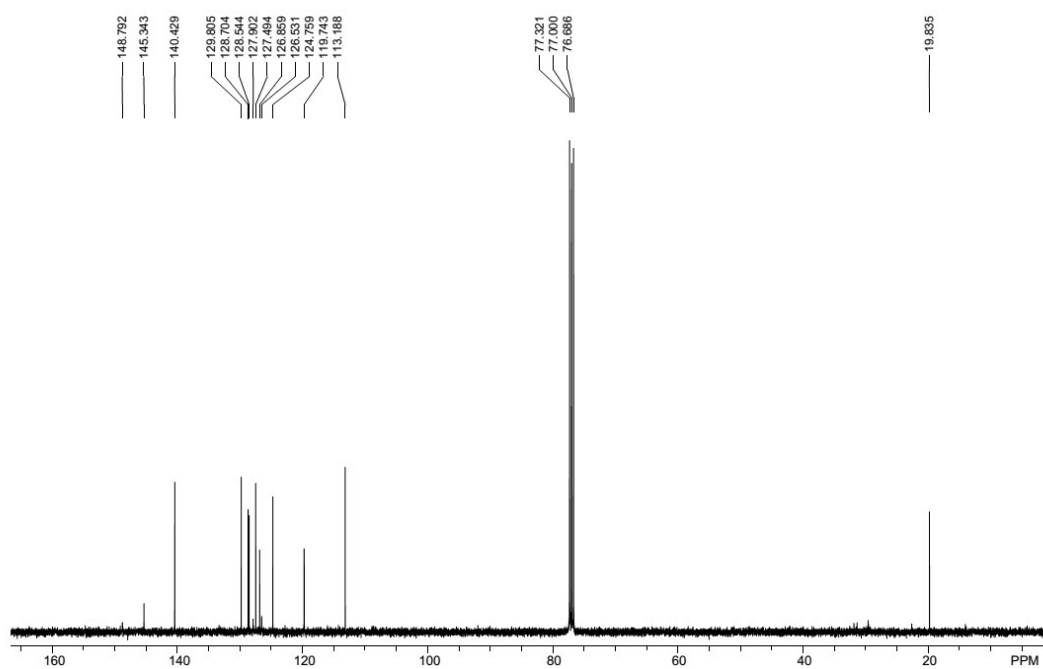
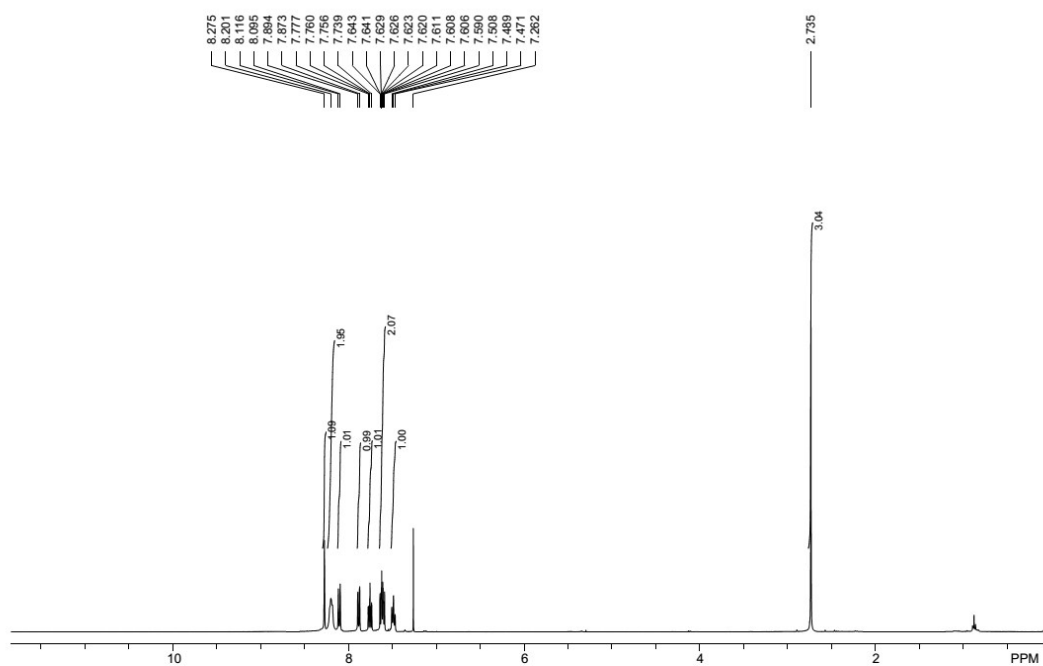
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6. ^1H and ^{13}C NMR spectra of products

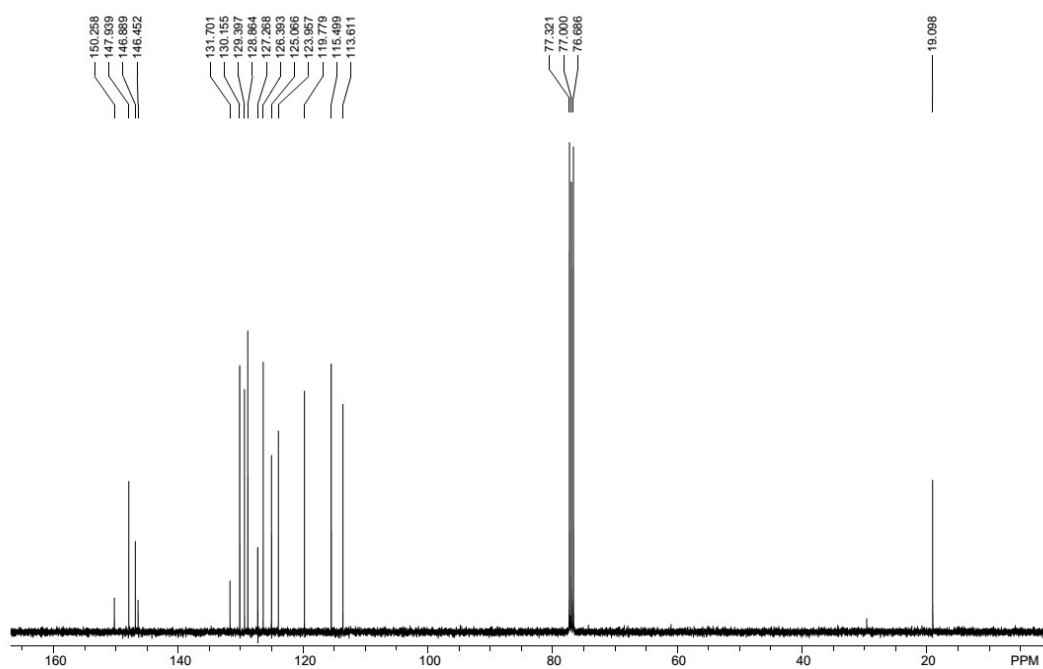
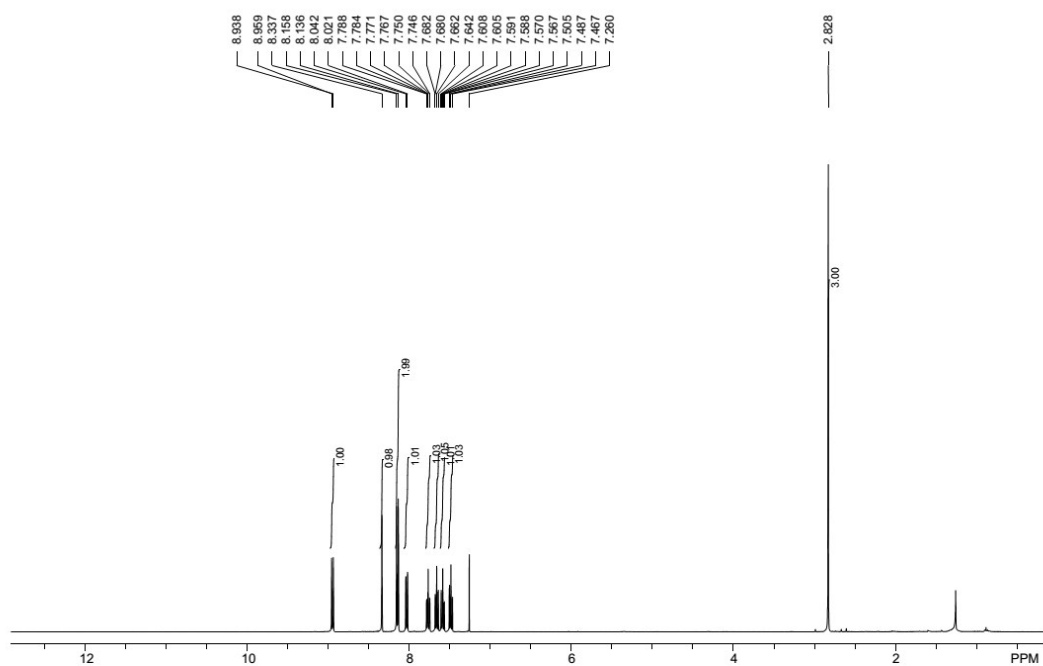
2-(1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3aa)



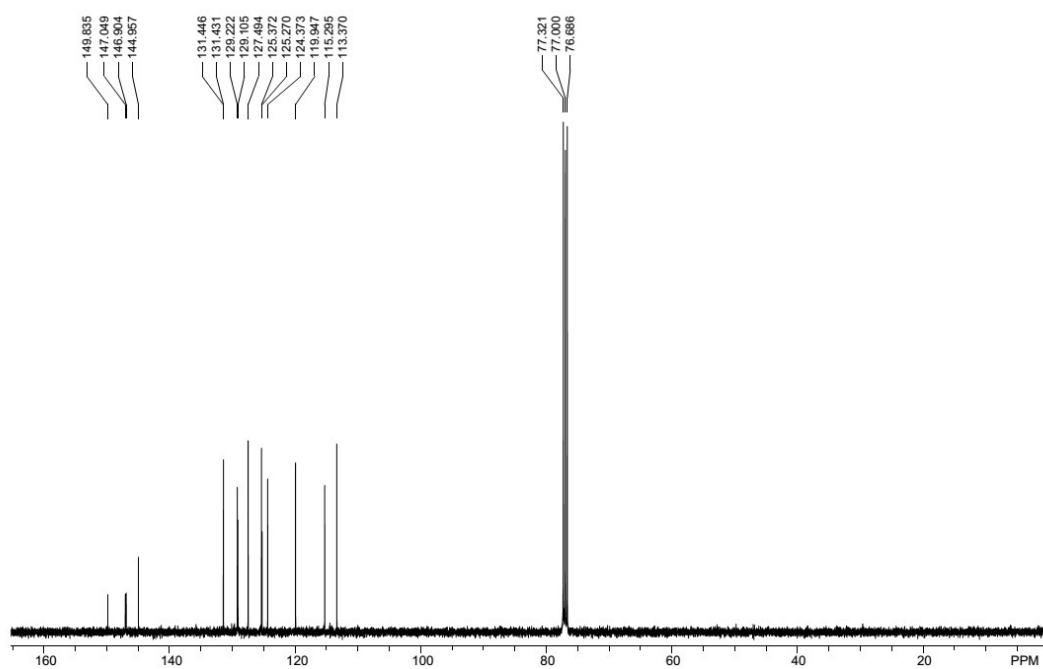
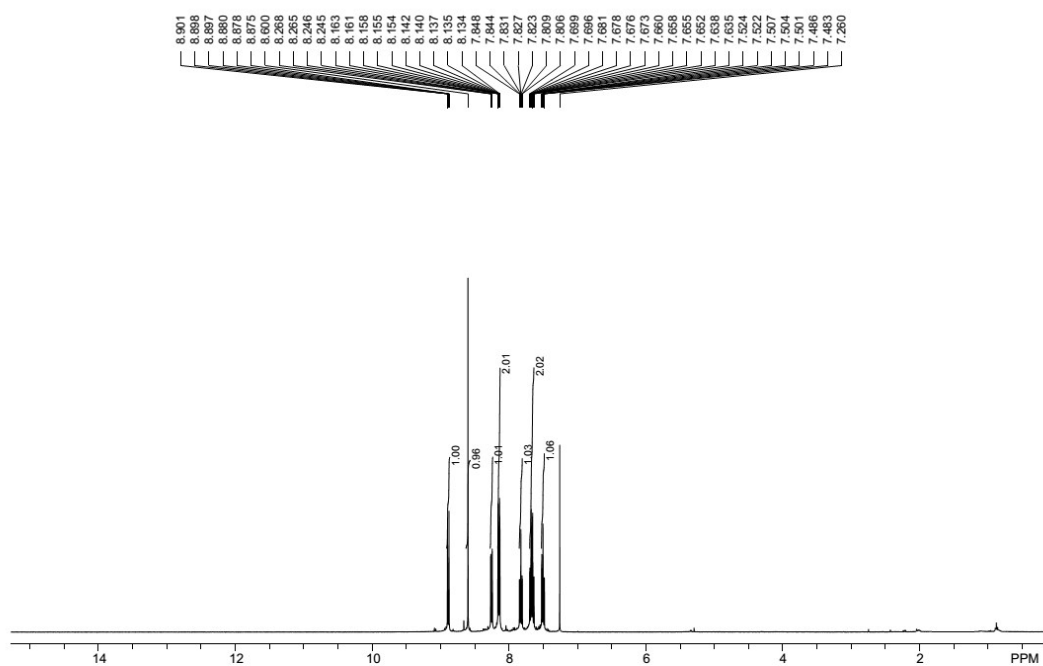
2-(1H-benzo[d][1,2,3]triazol-1-yl)-3-methylquinoline (3ba)



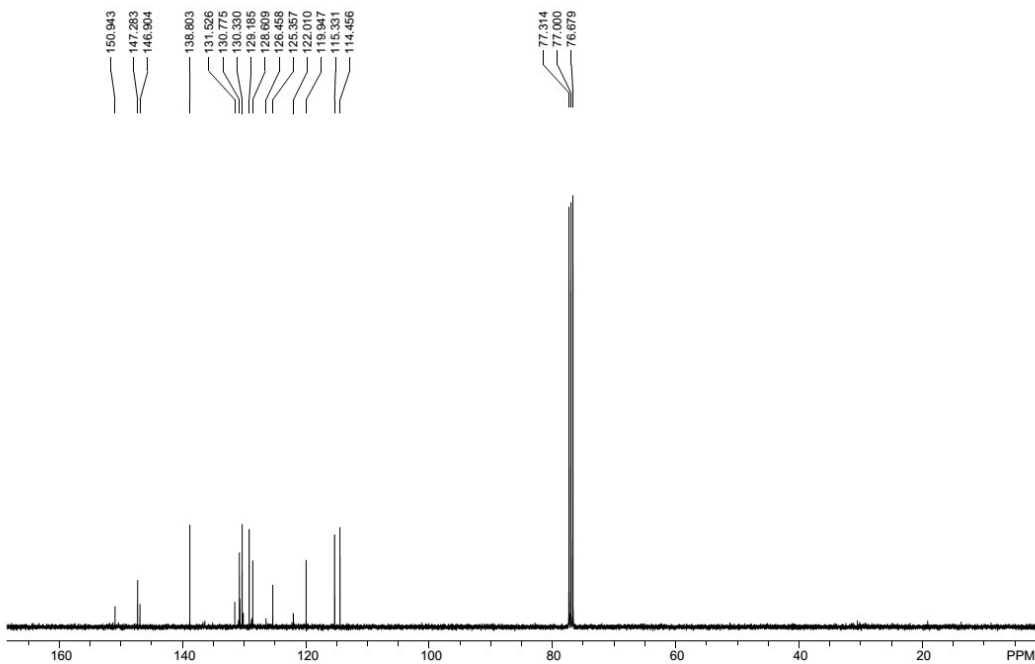
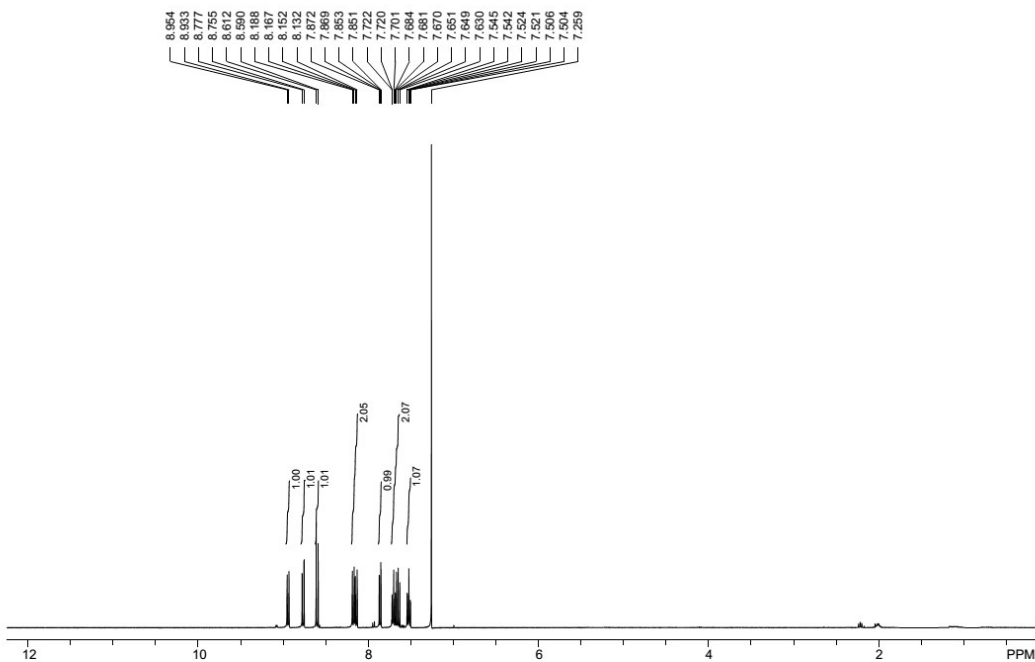
2-(1H-benzo[d][1,2,3]triazol-1-yl)-4-methylquinoline (3ca)



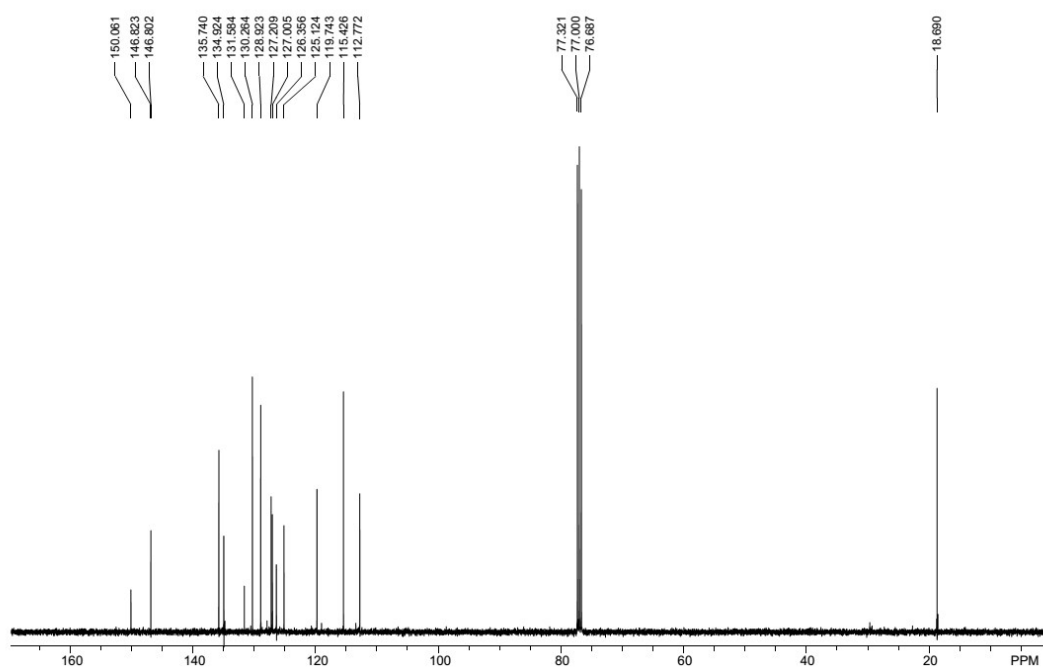
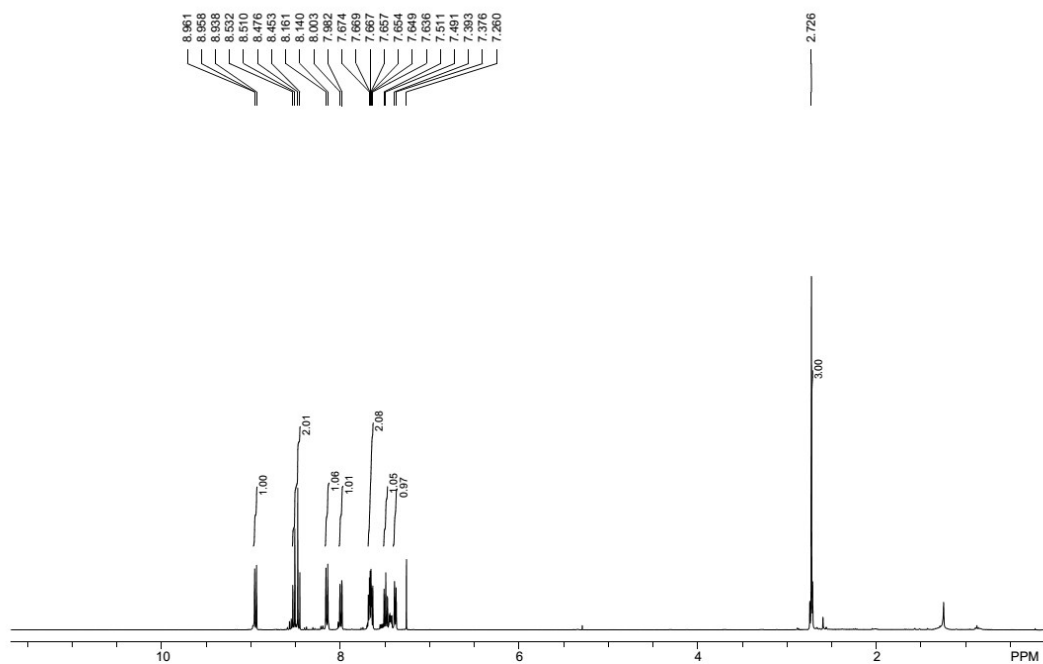
2-(1H-benzo[d][1,2,3]triazol-1-yl)-4-chloroquinoline (3da)



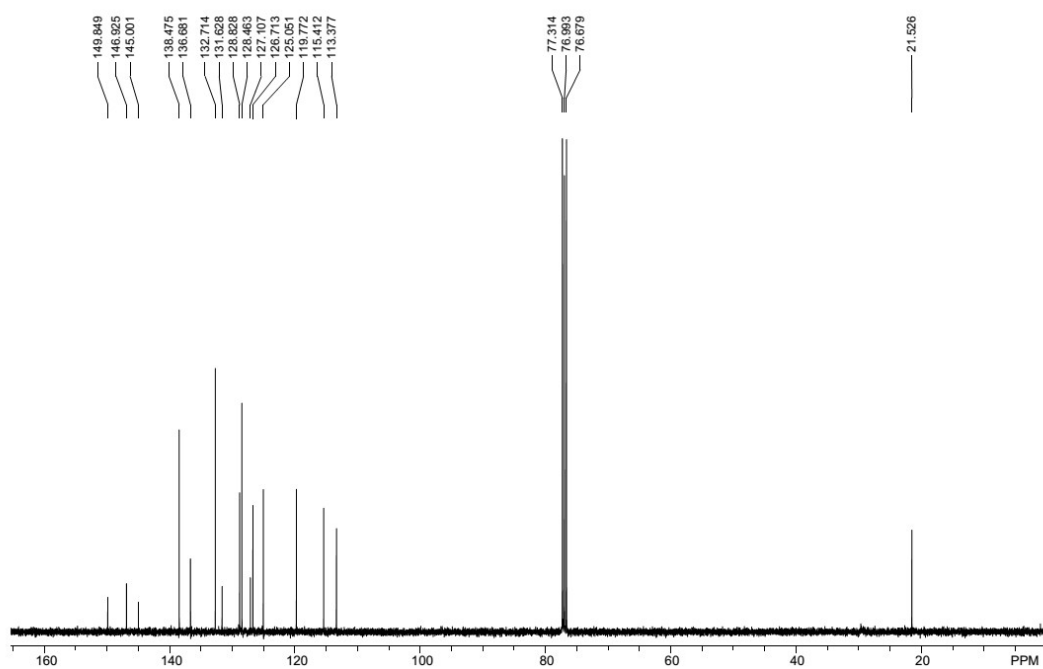
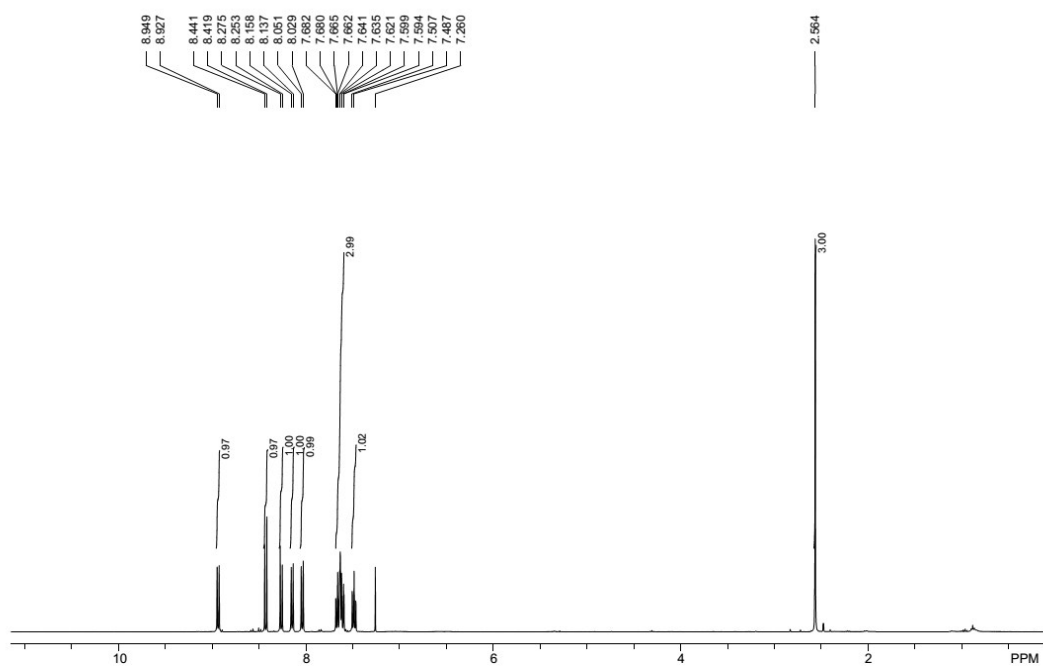
2-(1H-benzo[d][1,2,3]triazol-1-yl)-5-bromoquinoline (3ea)



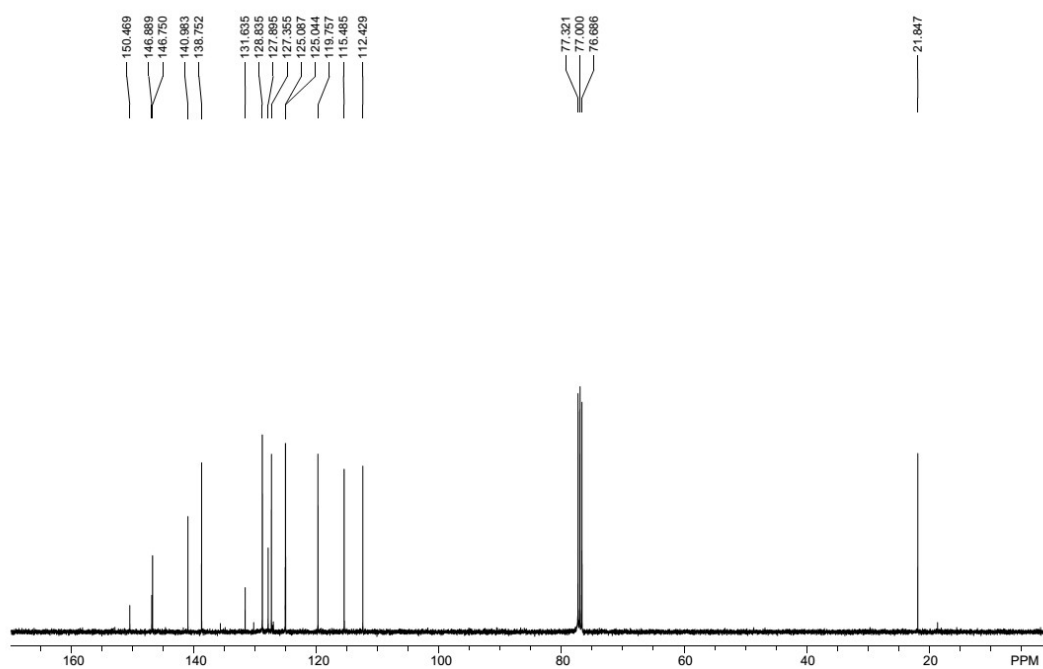
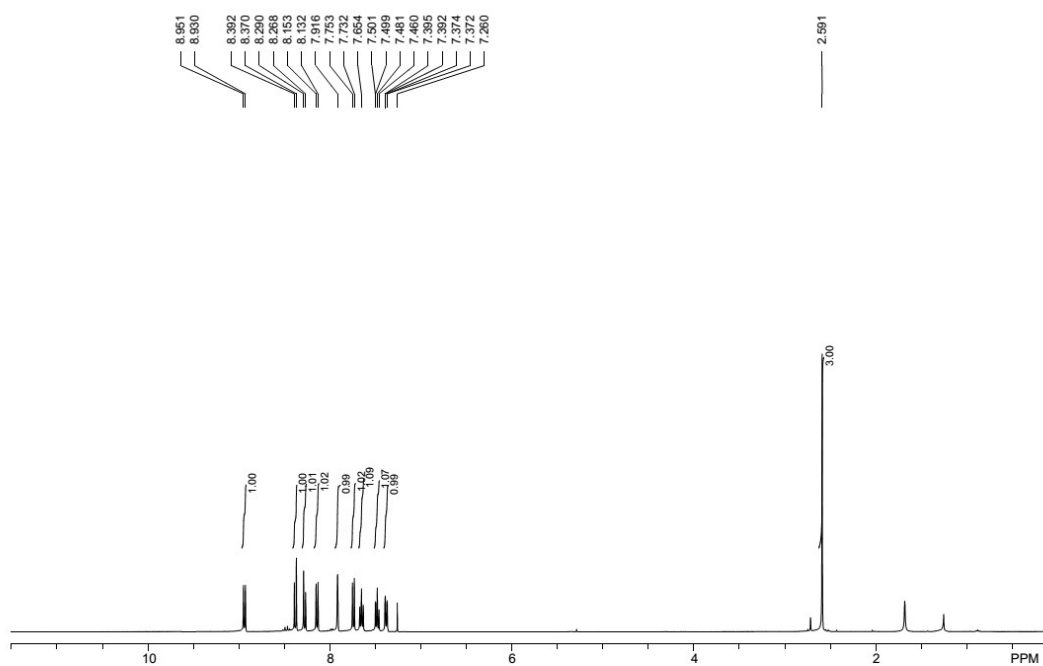
2-(1H-benzo[d][1,2,3]triazol-1-yl)-5-methylquinoline (3fa)



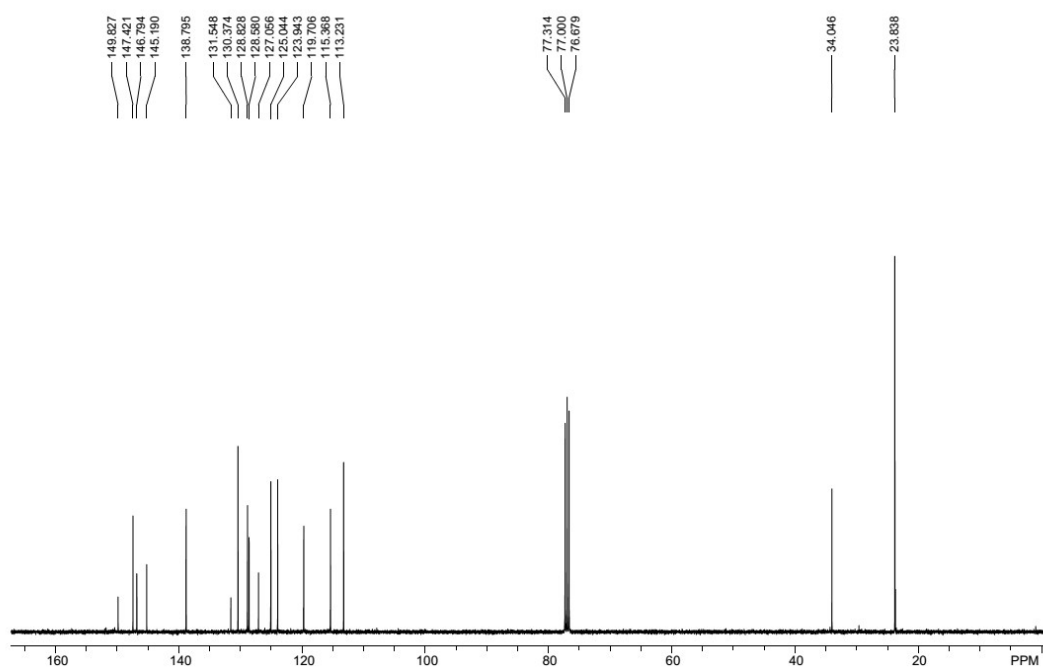
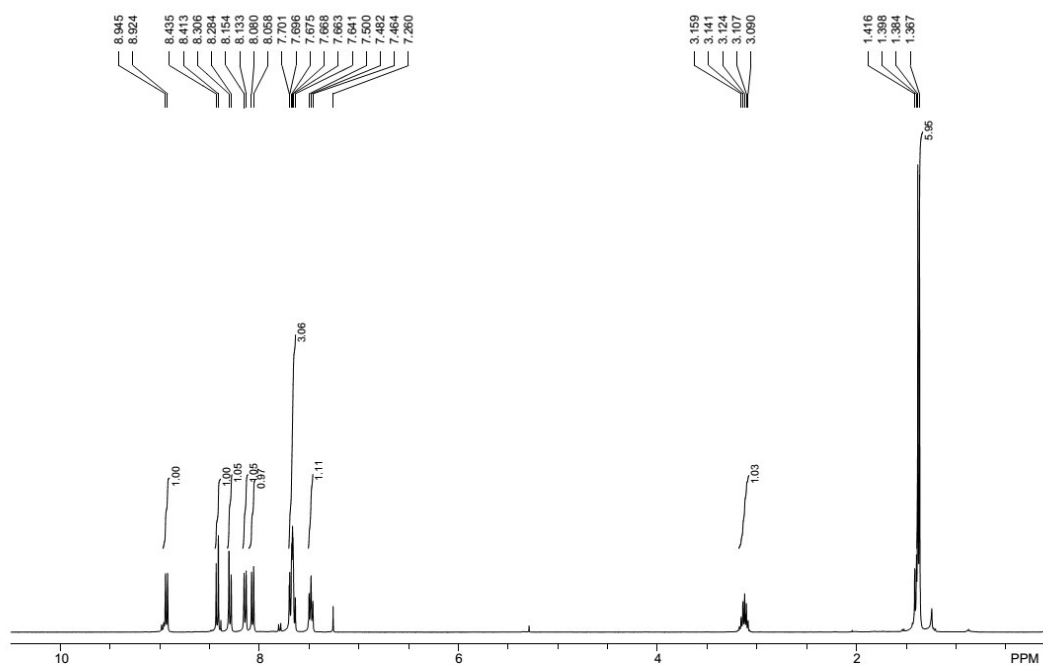
2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-methylquinoline (3ga)



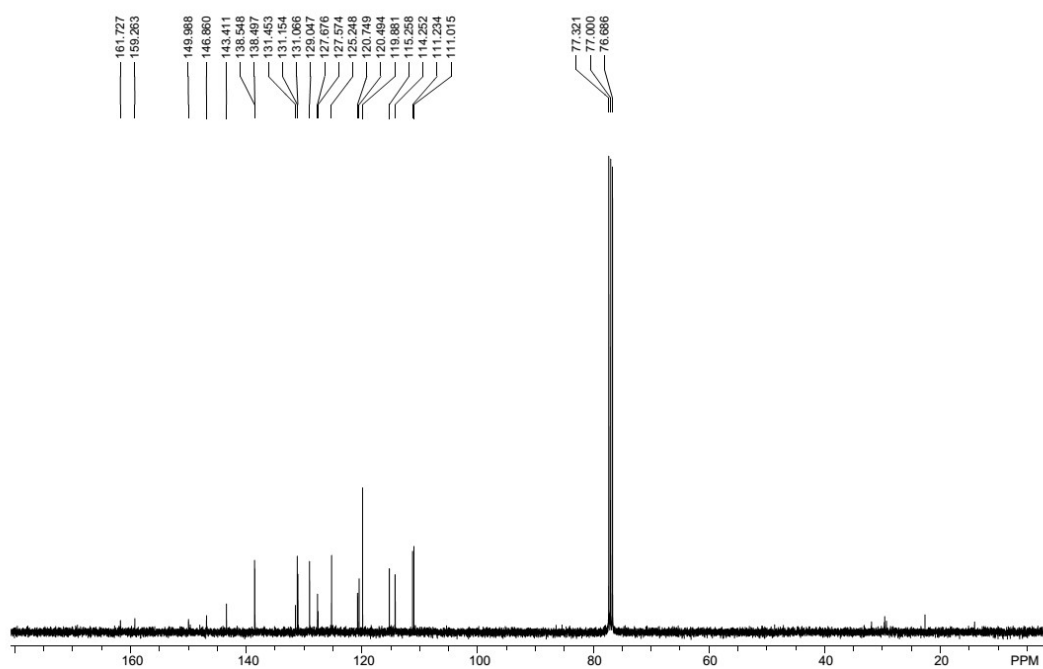
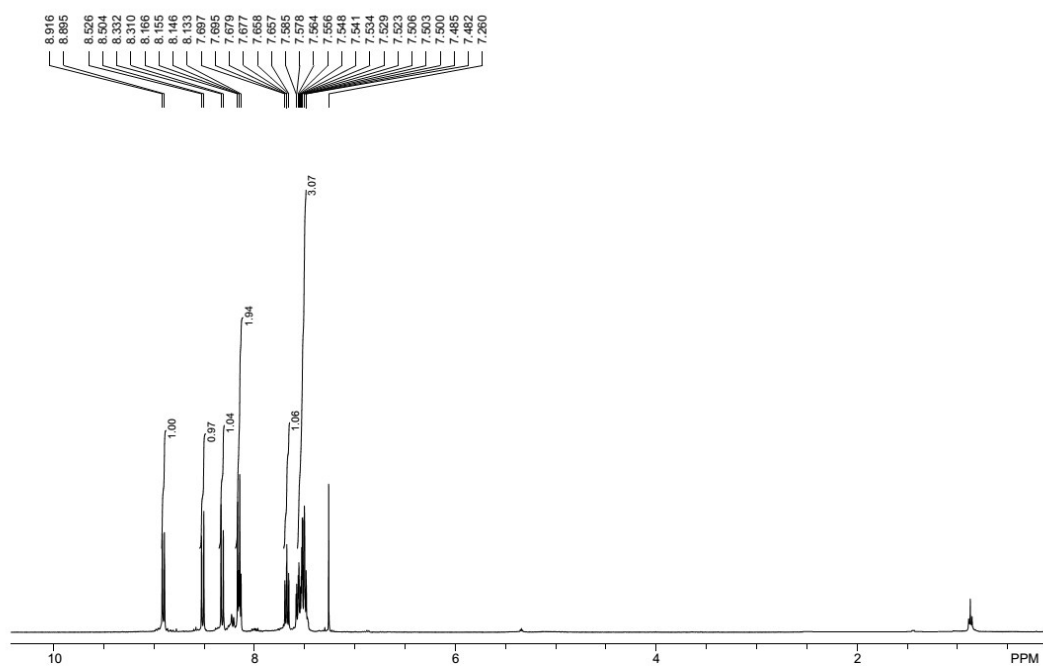
2-(1H-benzo[d][1,2,3]triazol-1-yl)-7-methylquinoline (3ha)



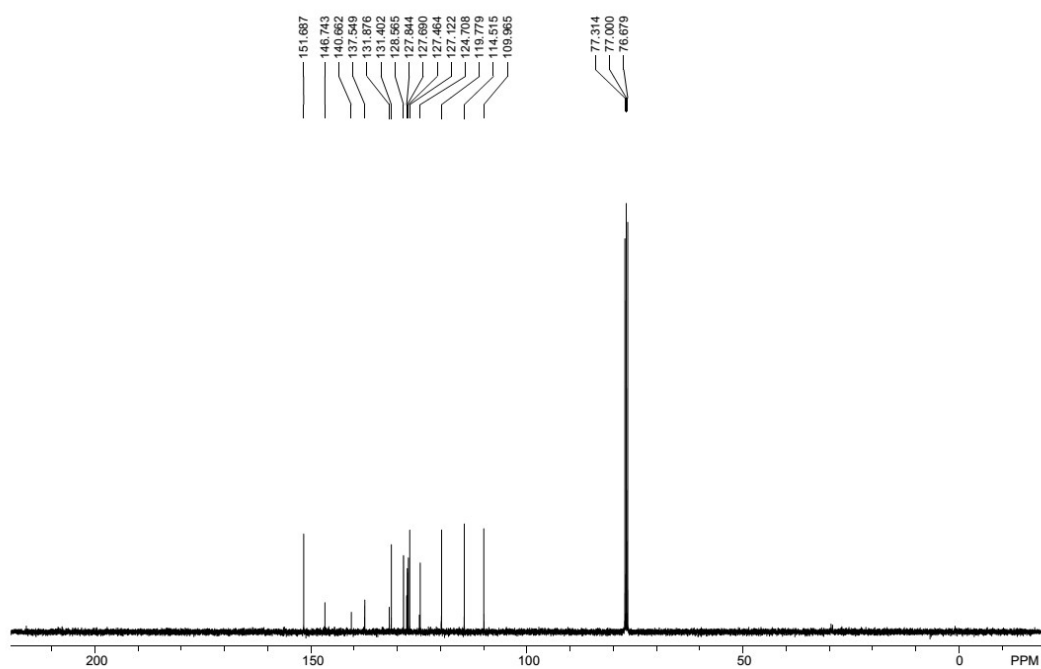
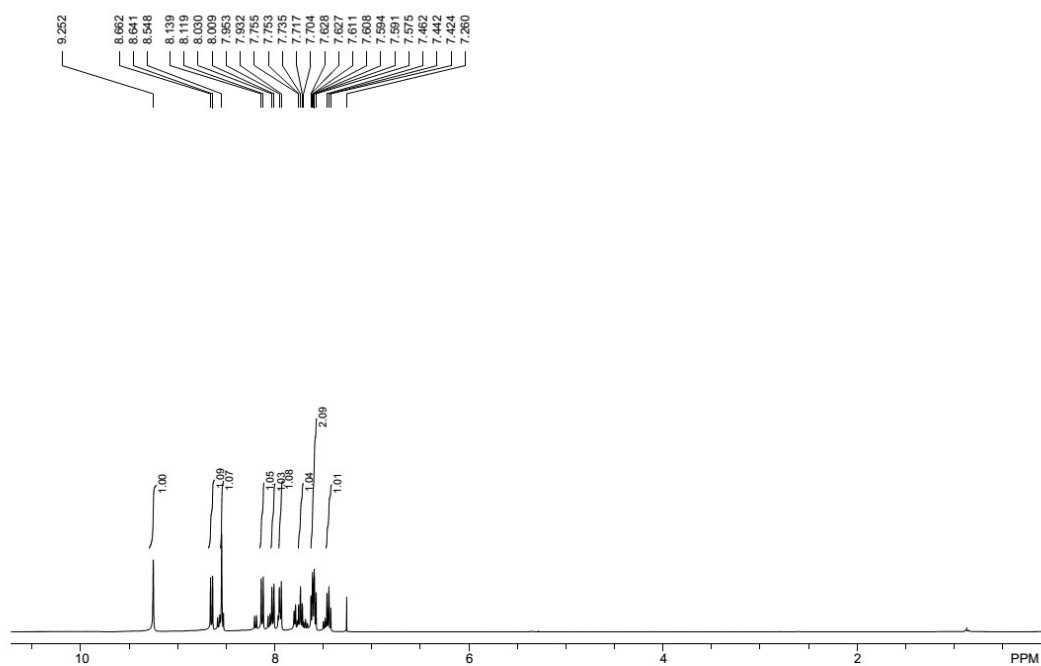
2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-isopropylquinoline (3ia)



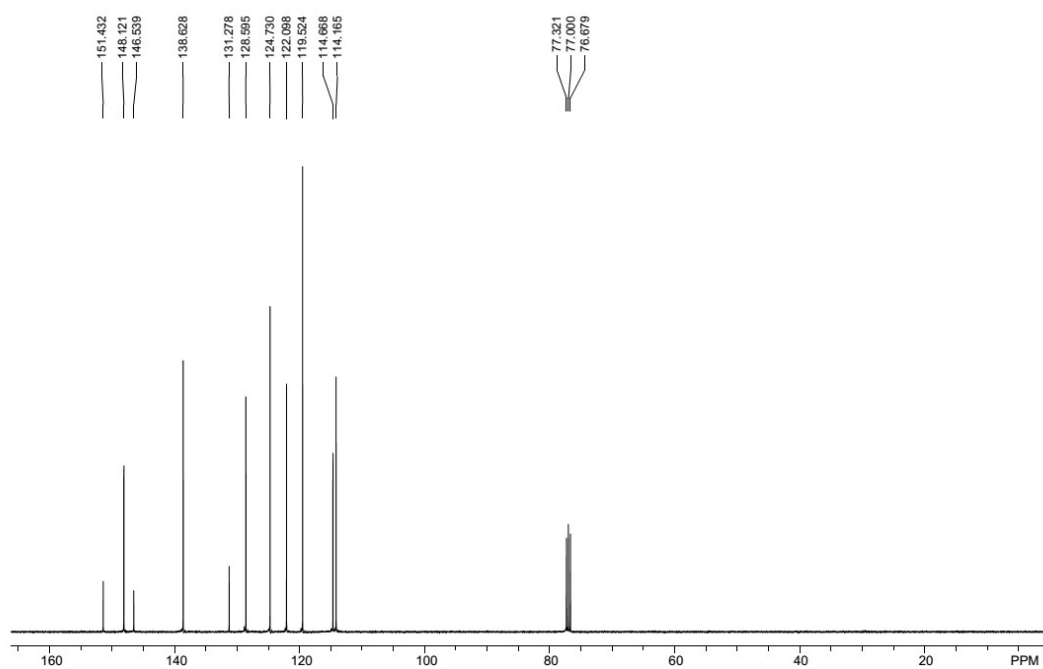
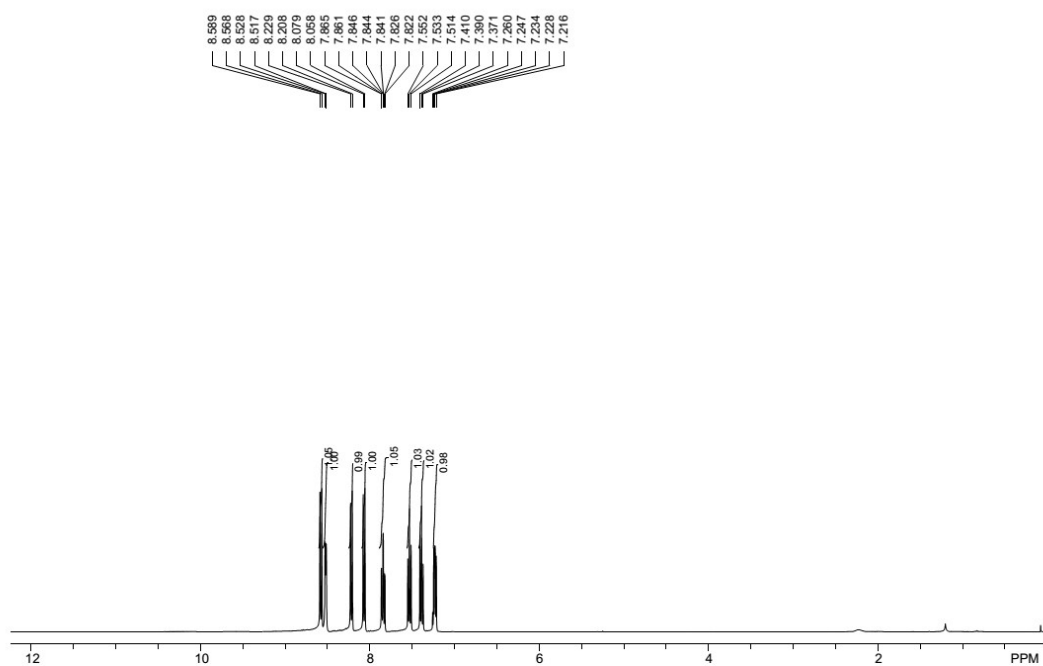
2-(1H-benzo[d][1,2,3]triazol-1-yl)-6-fluoroquinoline (3ja)



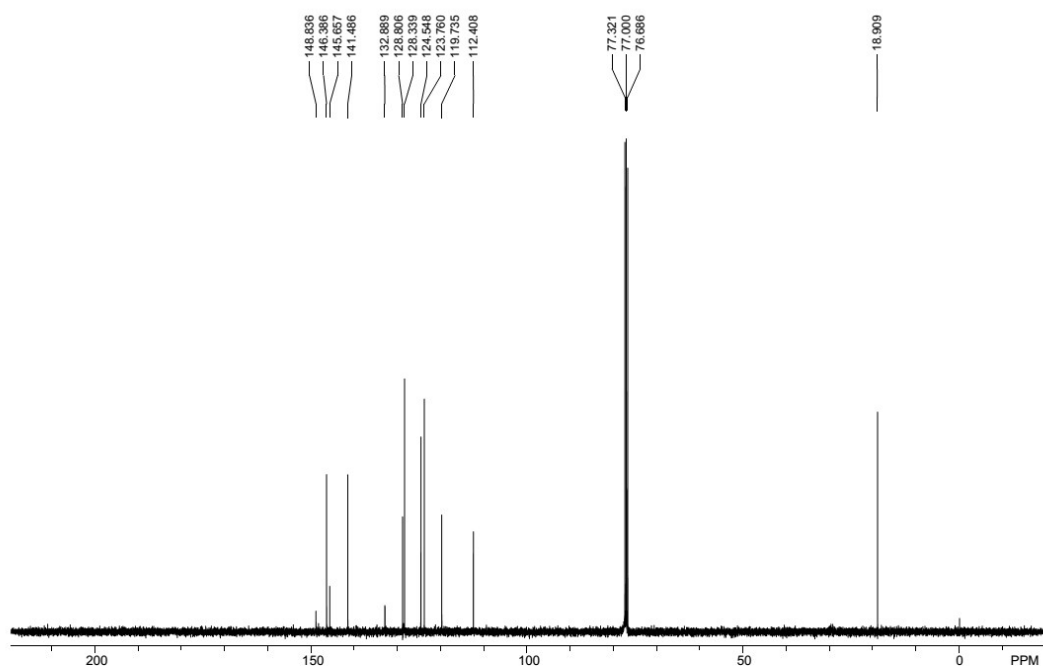
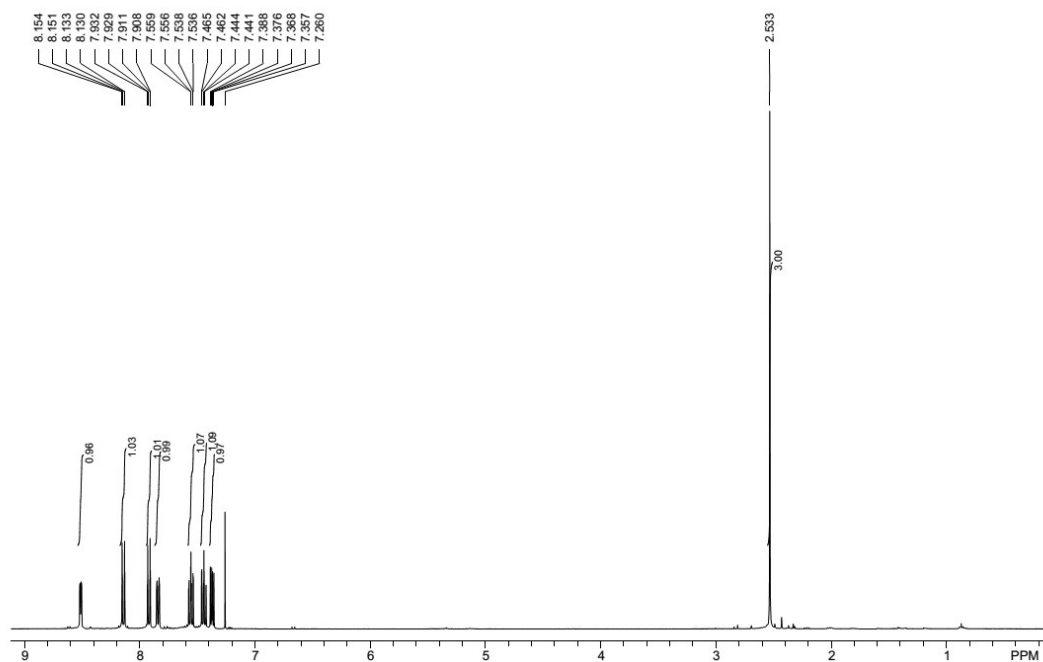
3-(1H-benzo[d][1,2,3]triazol-1-yl)isoquinoline (3ka)



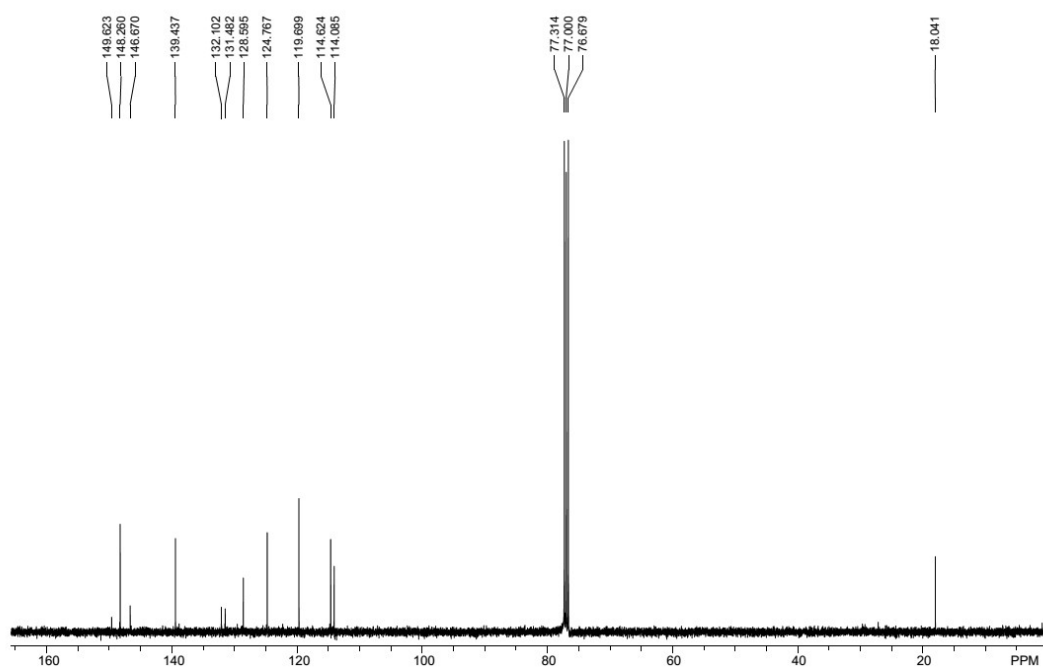
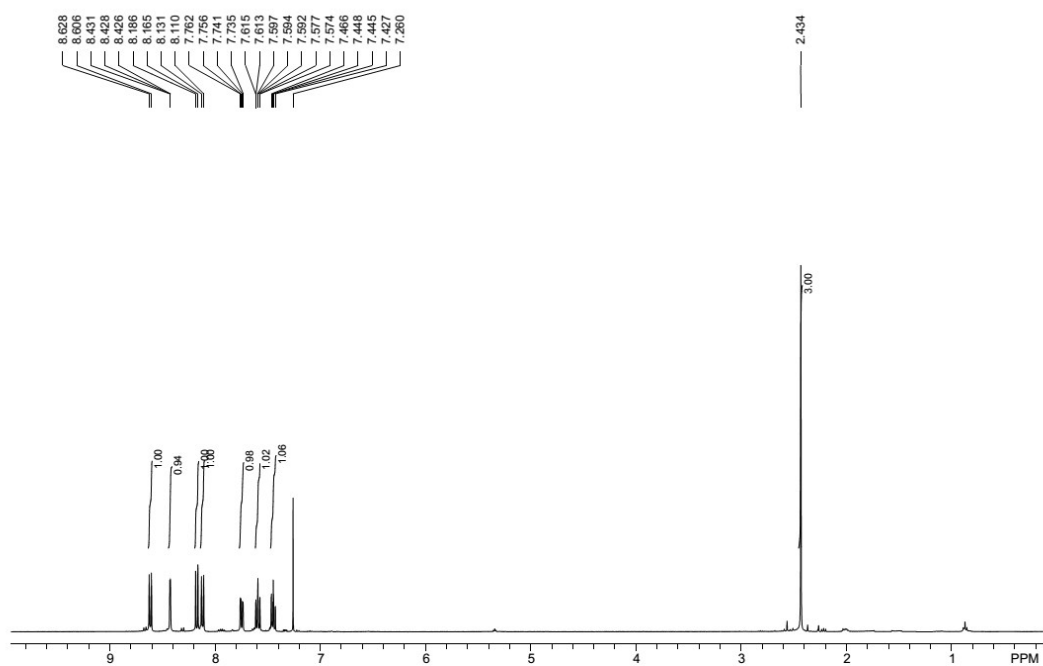
1-(pyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3la)



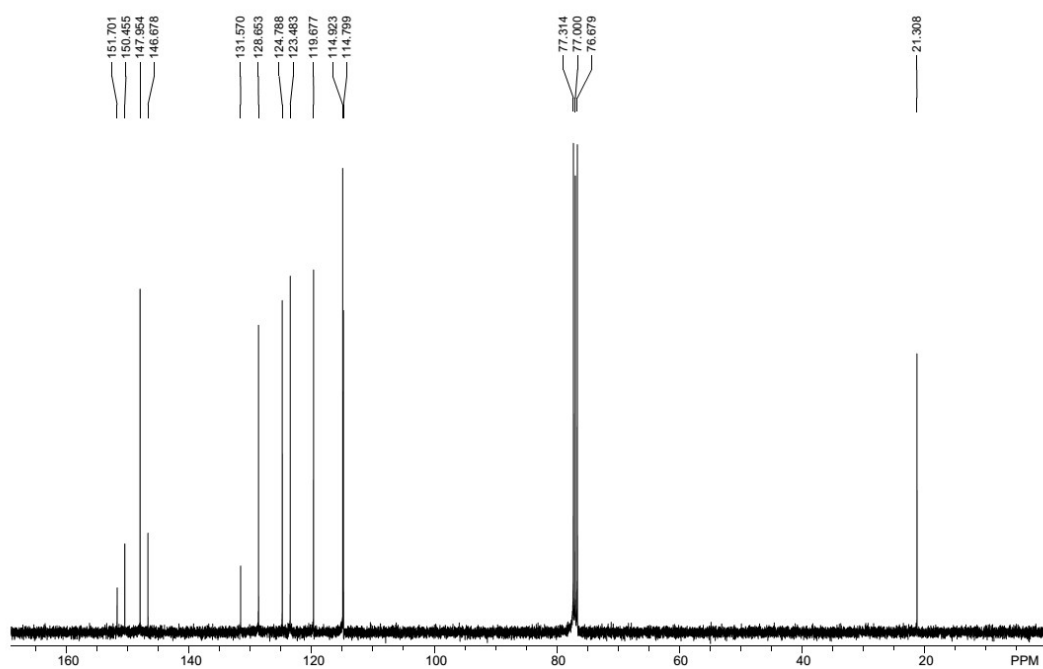
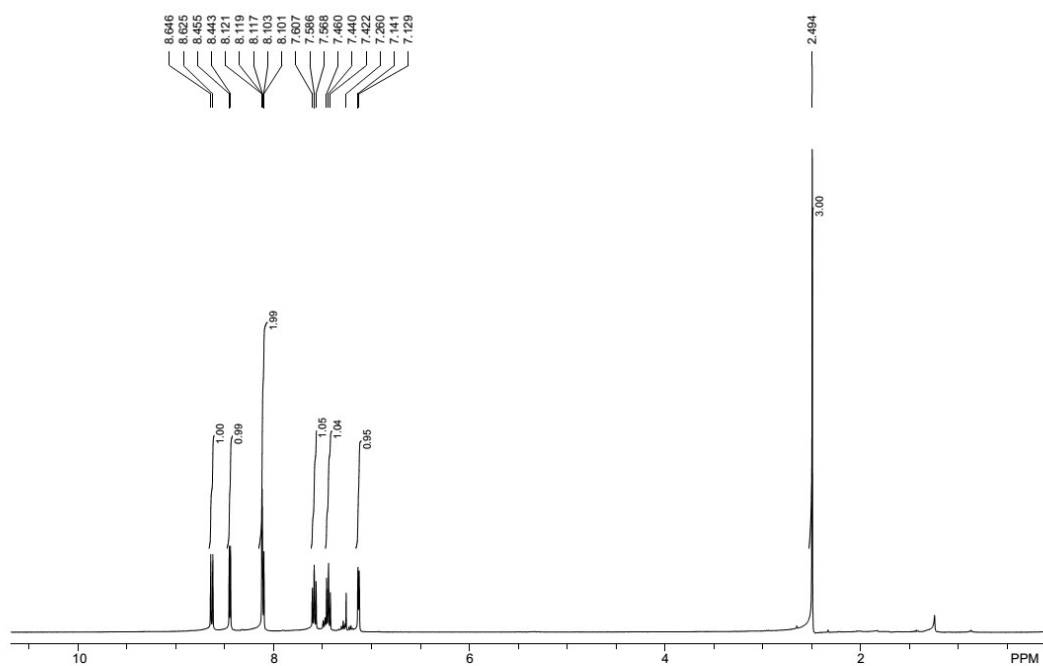
1-(3-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ma)



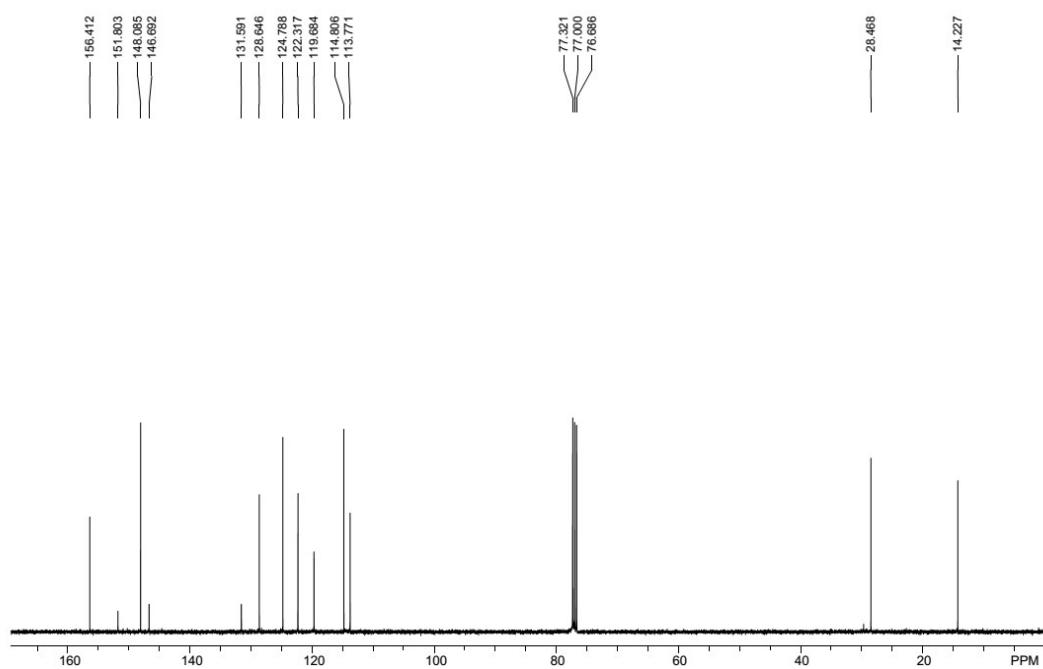
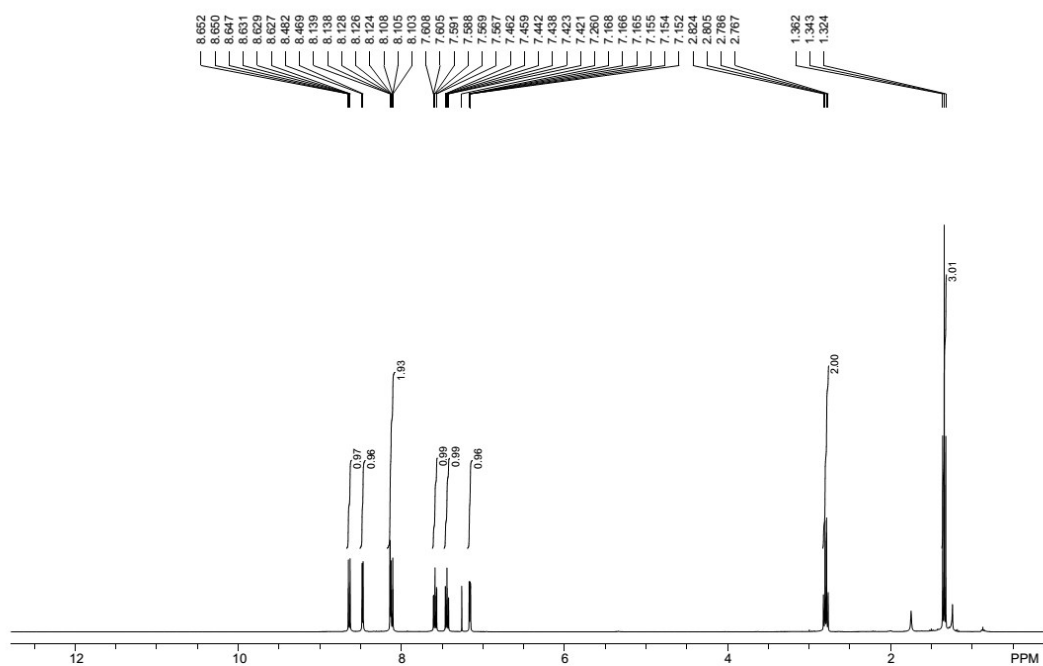
1-(5-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ma)



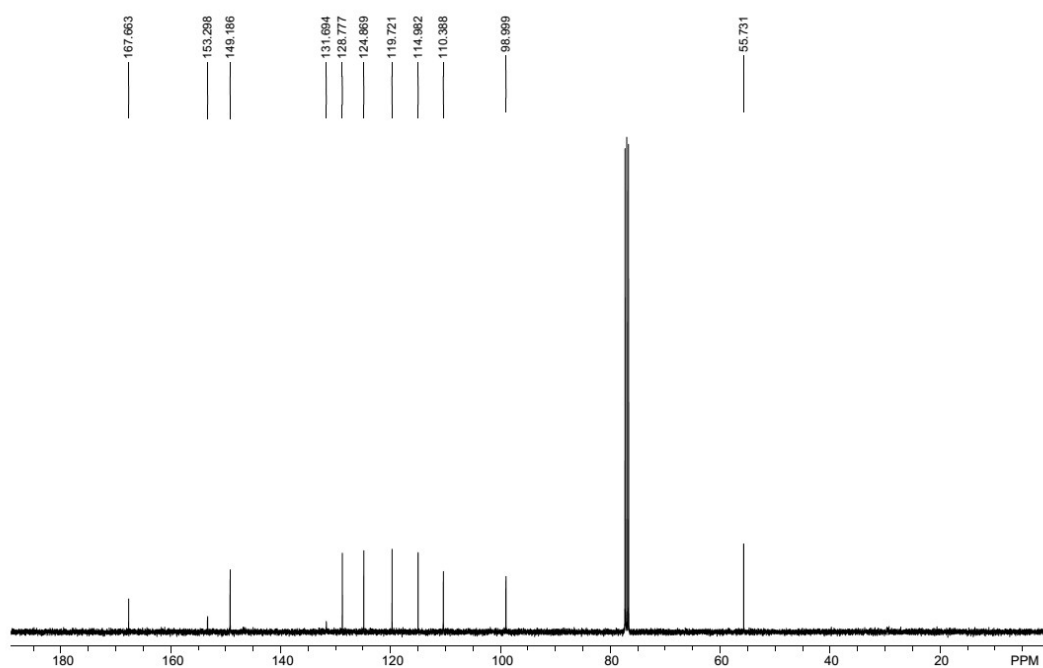
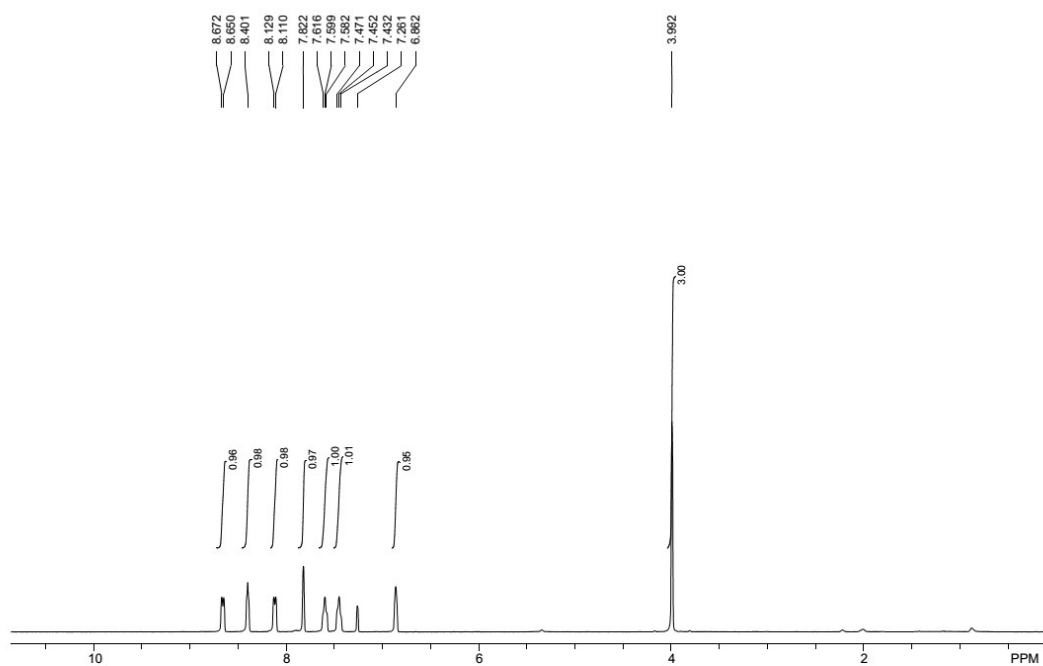
1-(4-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3na)



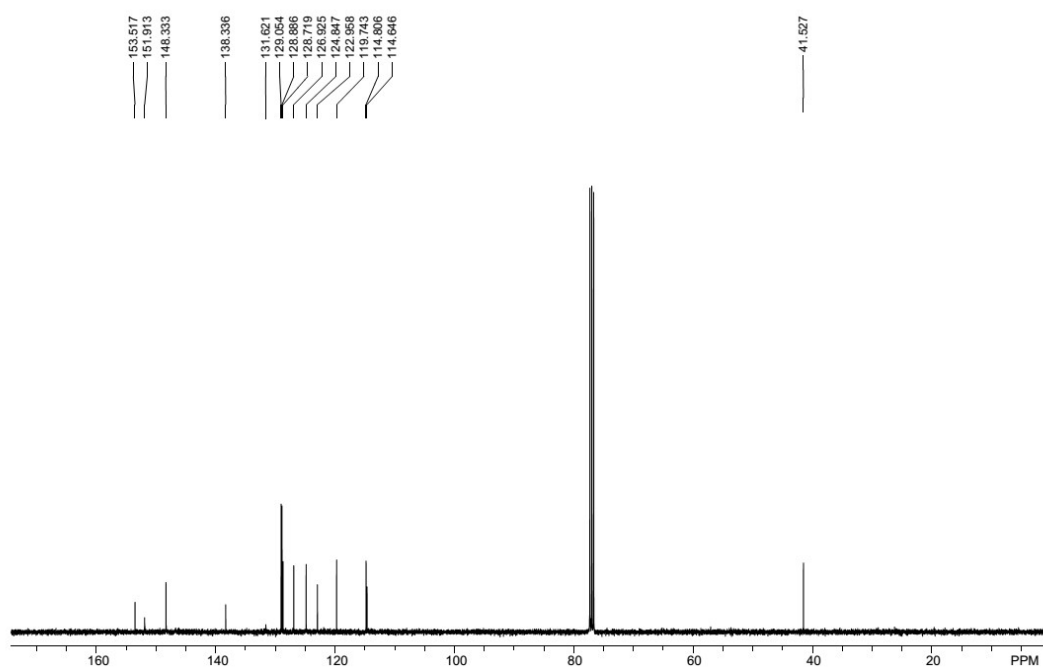
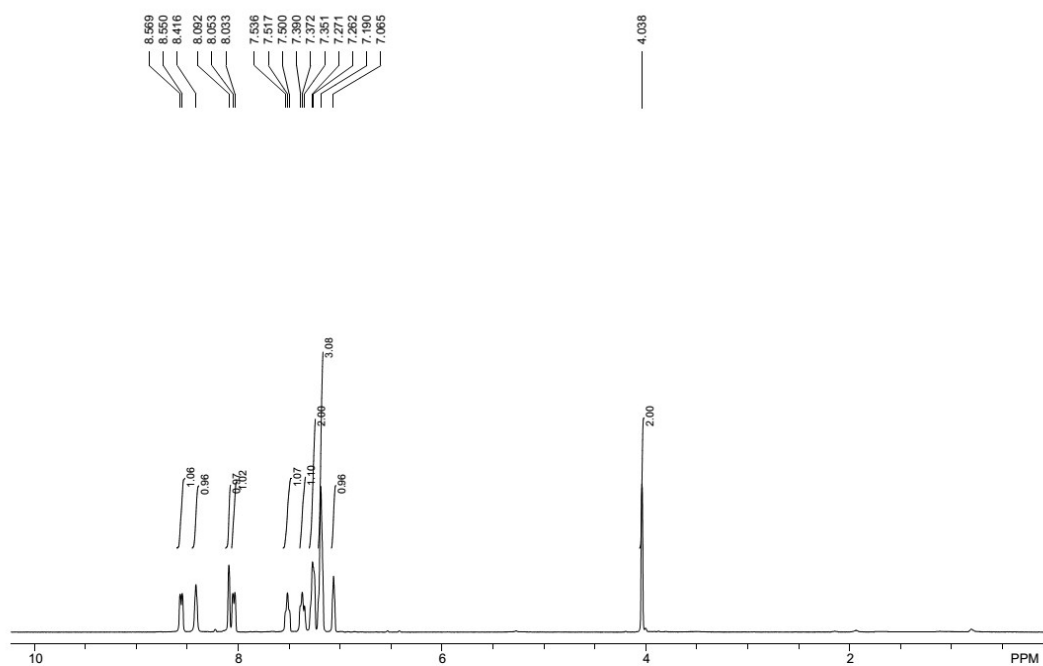
1-(4-ethylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (30a)



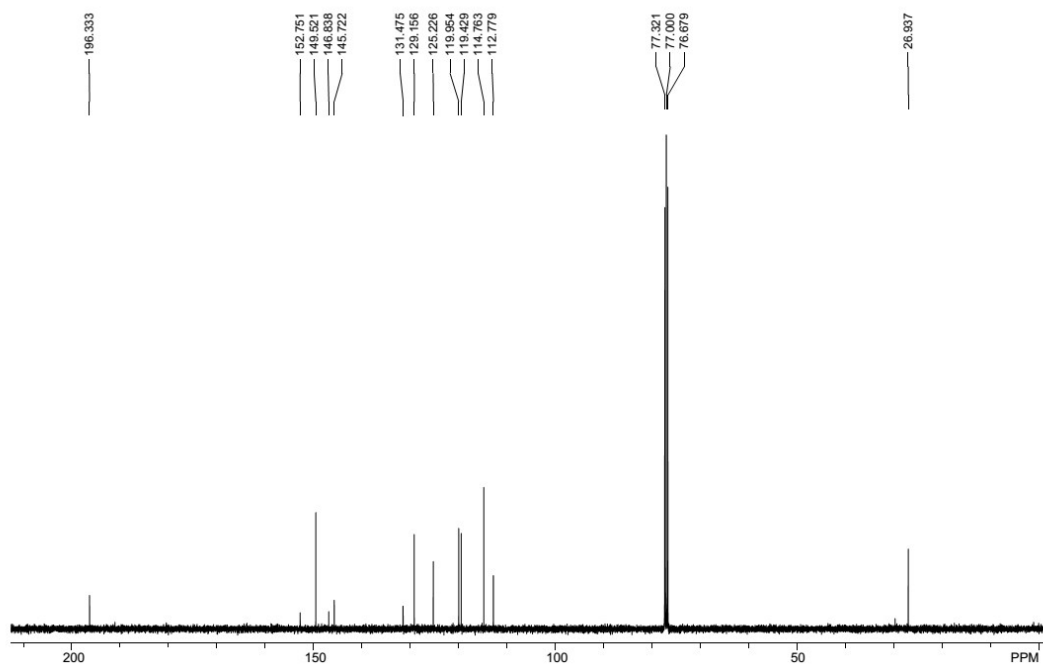
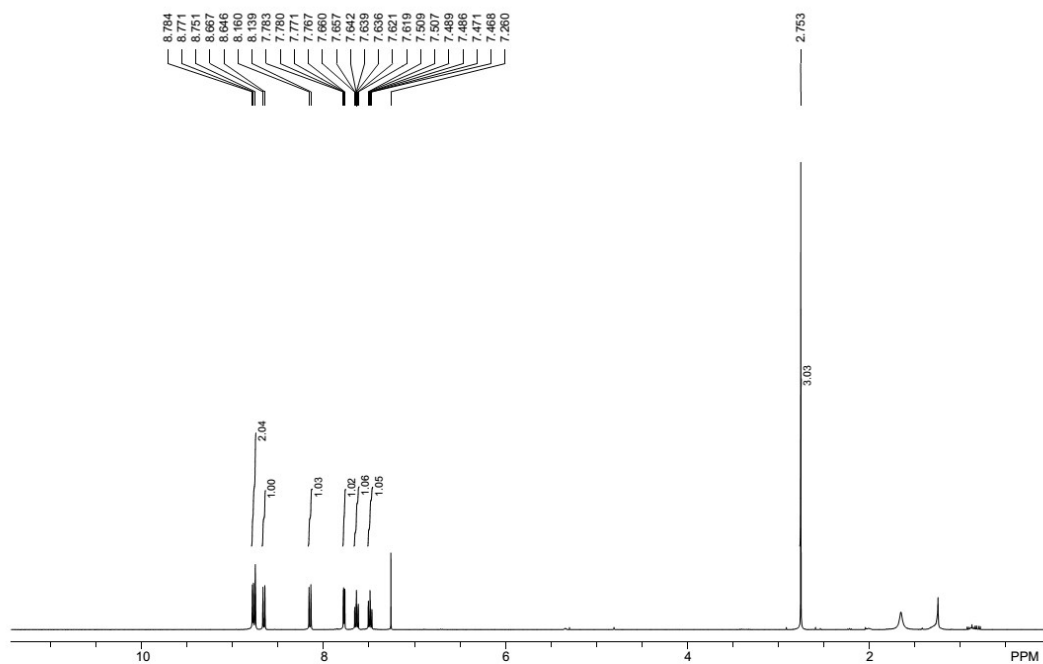
1-(4-methoxypyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3pa)



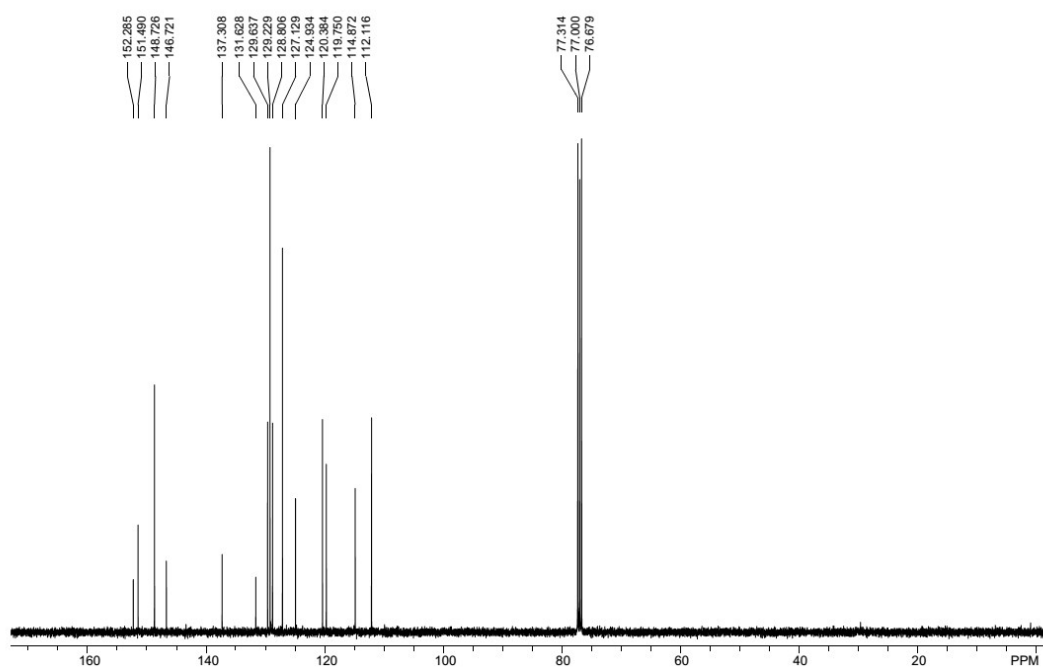
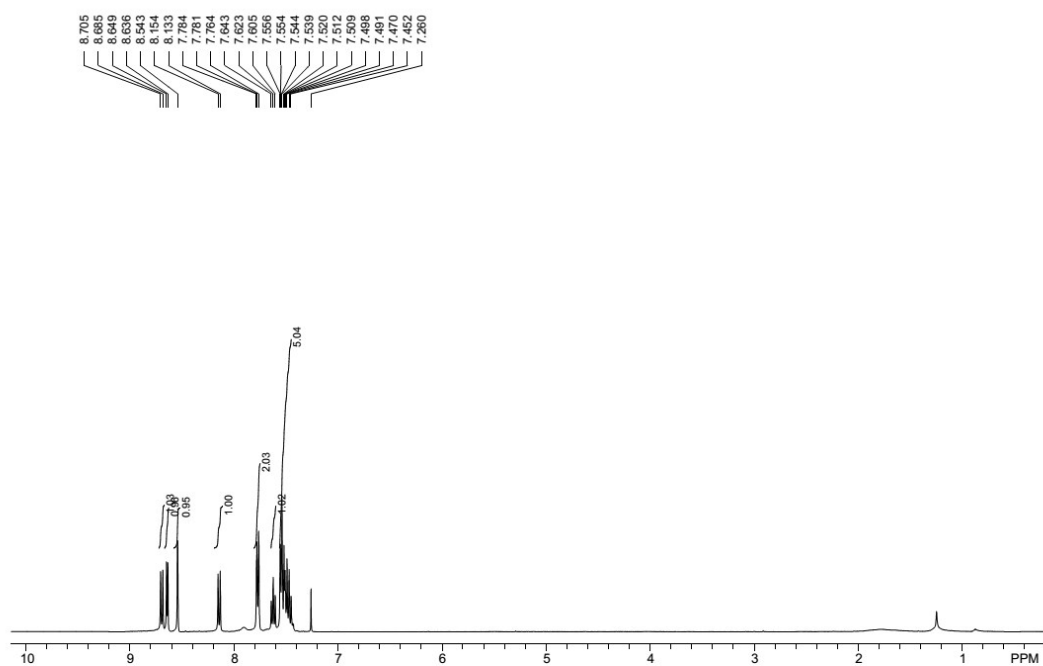
1-(4-benzylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3qa)



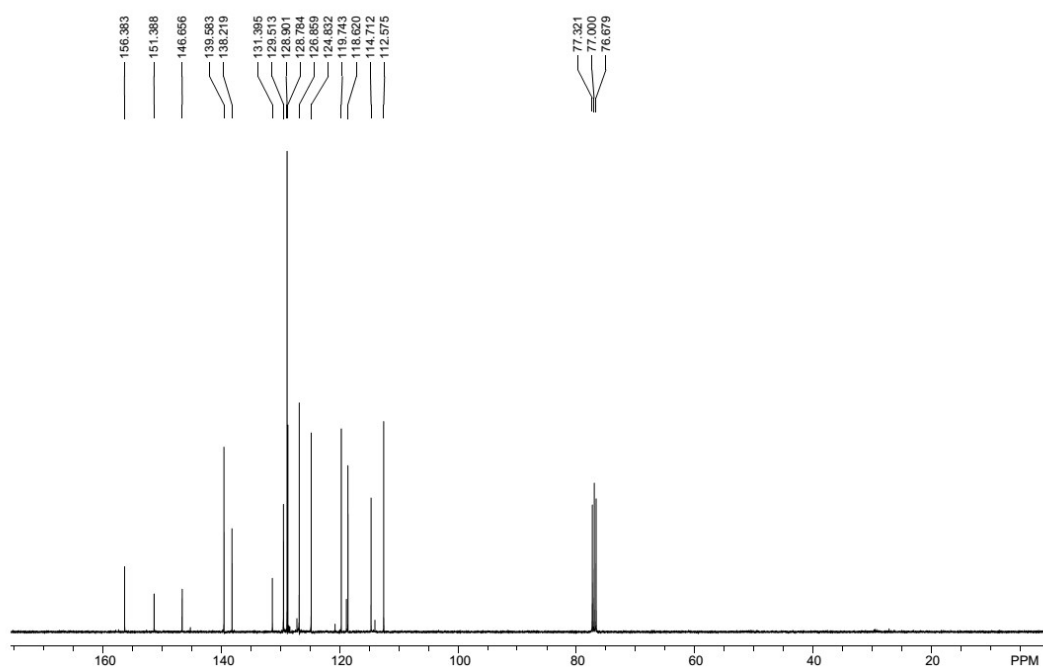
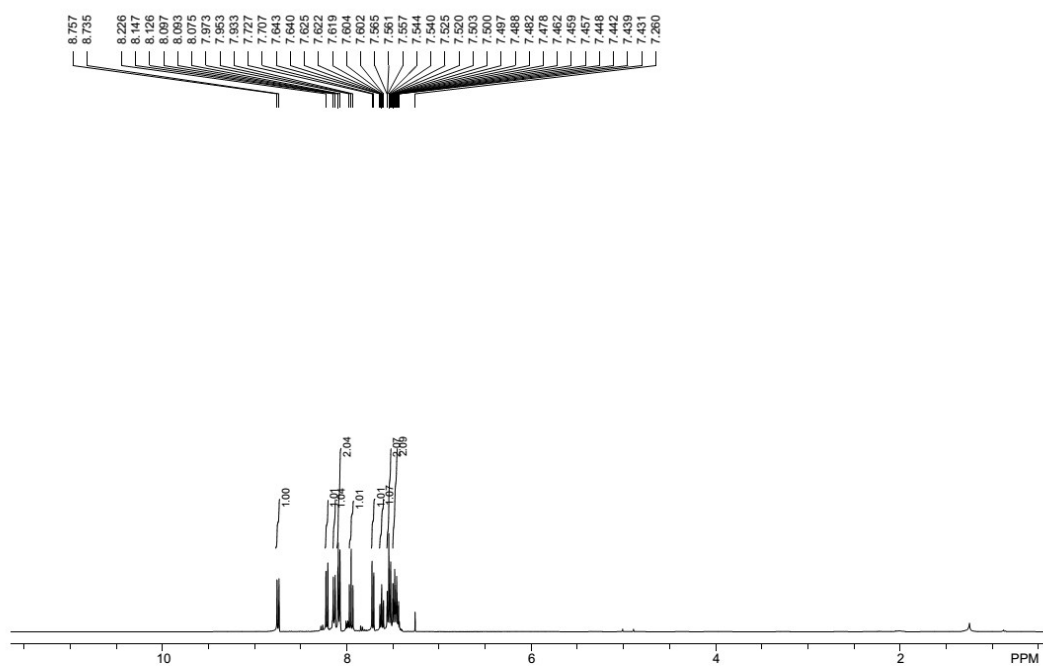
1-(2-(1H-benzo[d][1,2,3]triazol-1-yl)pyridin-4-yl)ethanone (3ra)



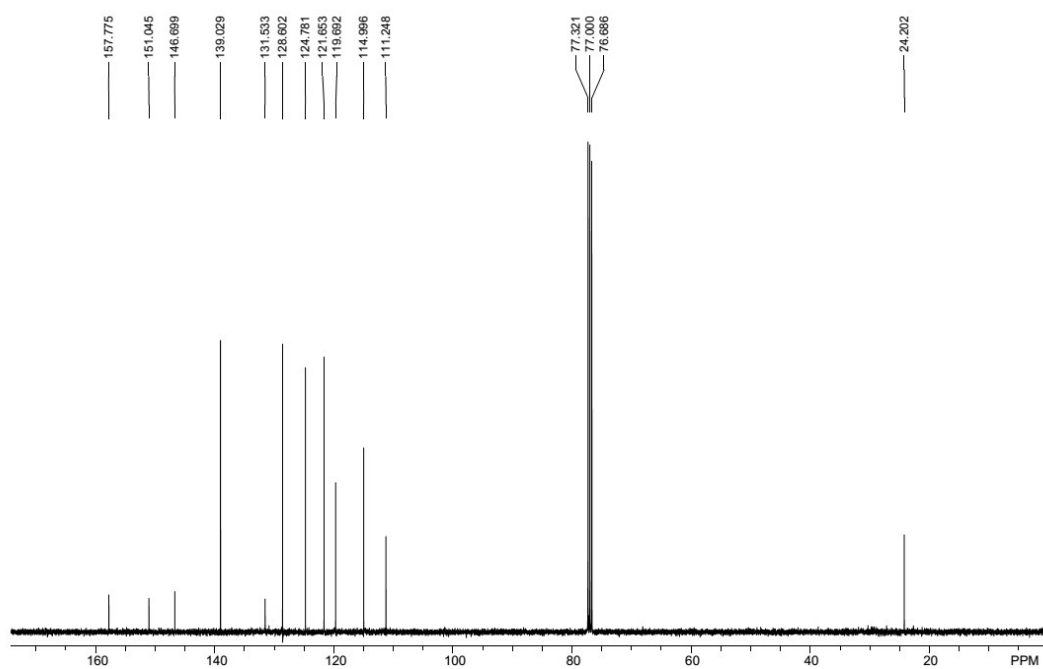
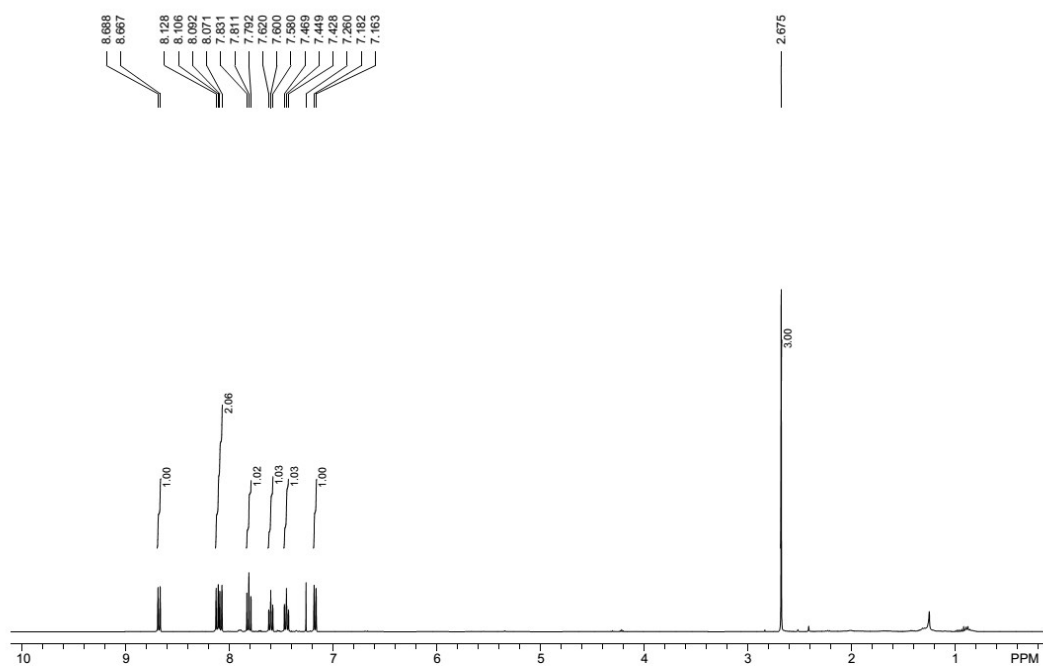
1-(4-phenylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3sa)



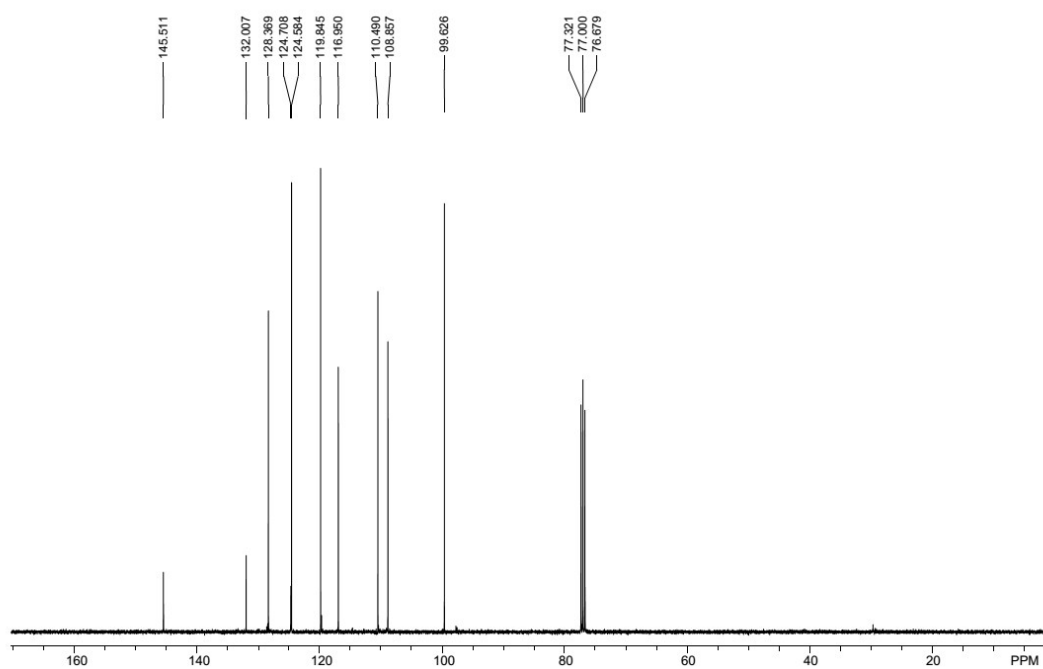
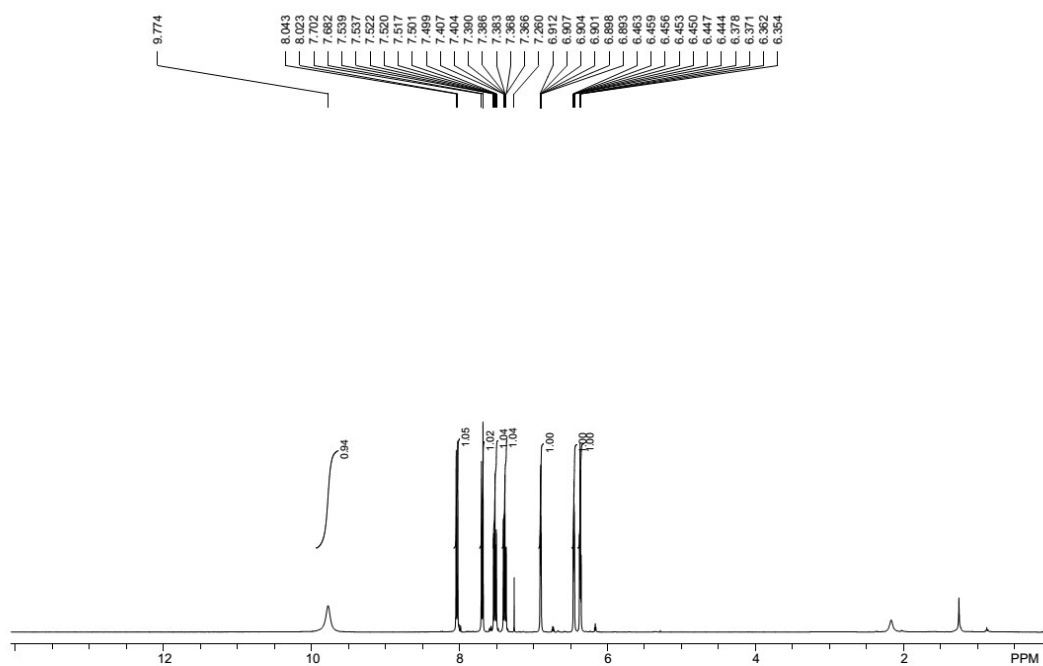
1-(6-phenylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ta)



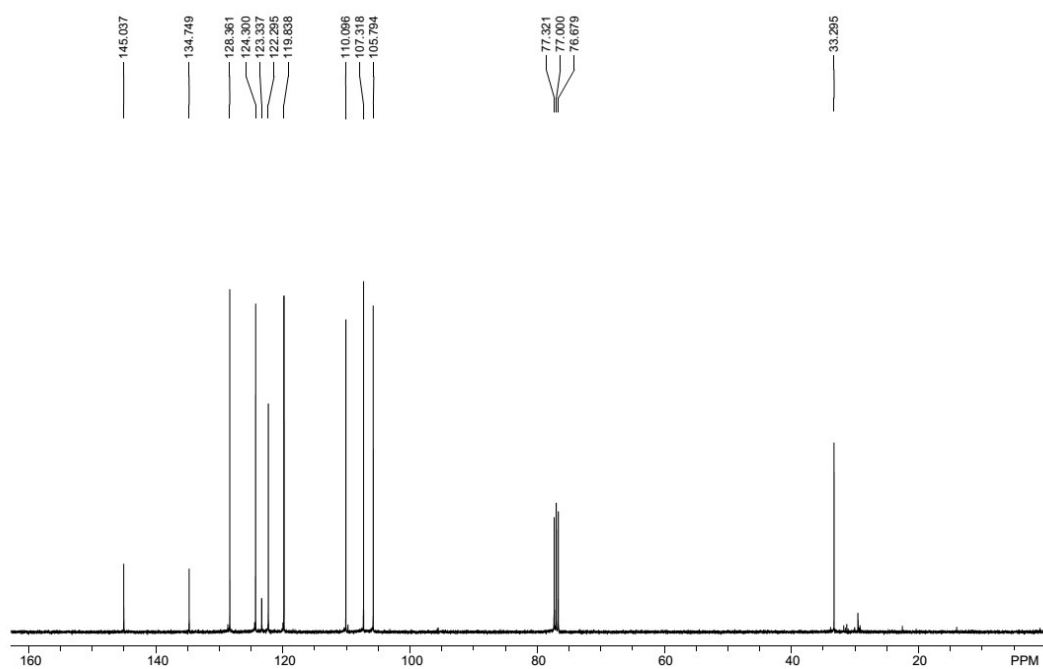
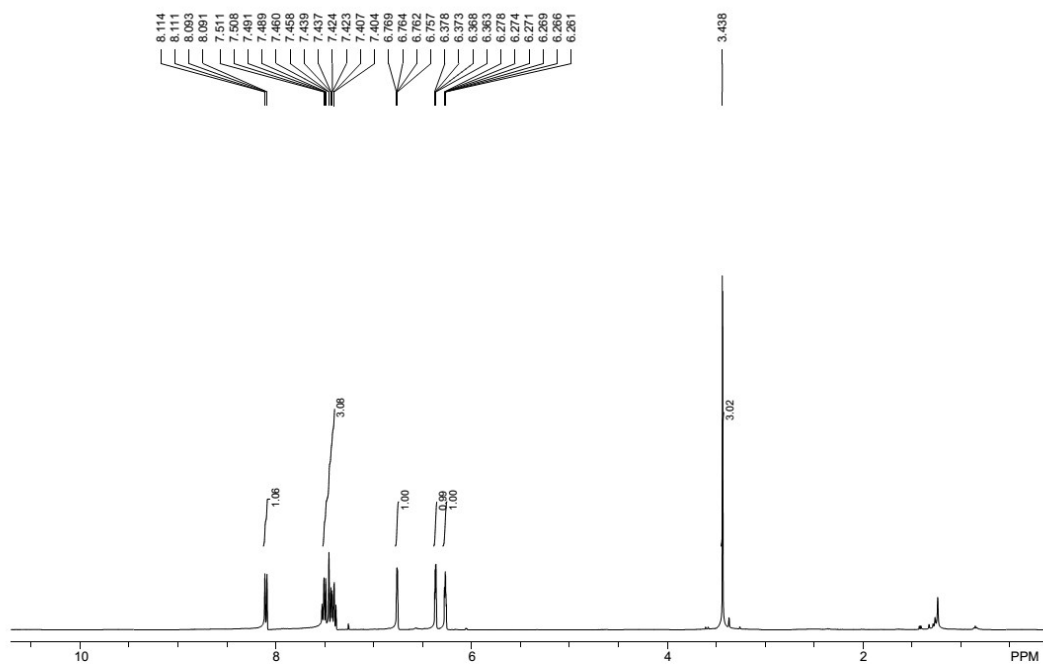
1-(6-methylpyridin-2-yl)-1H-benzo[d][1,2,3]triazole (3ua)



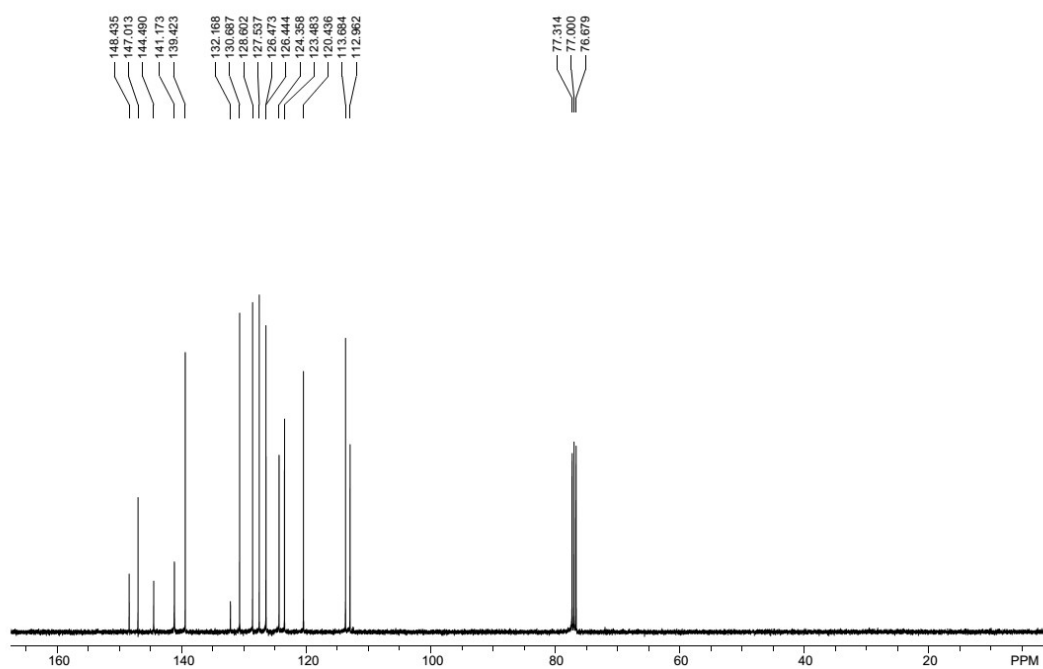
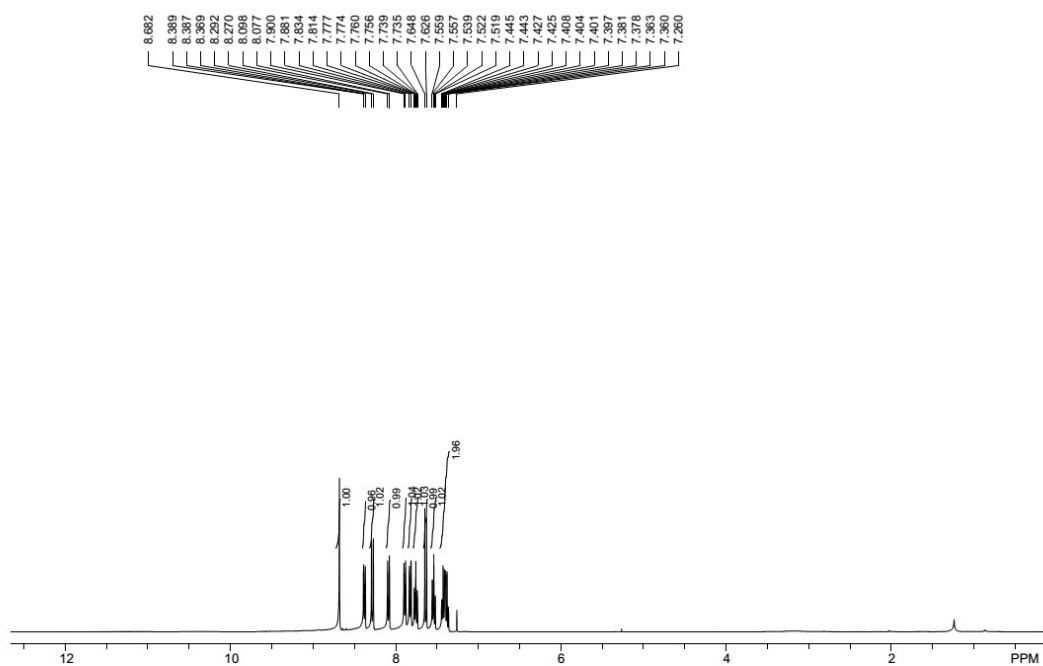
1-(1H-pyrrol-2-yl)-1H-benzo[d][1,2,3]triazole (3va)



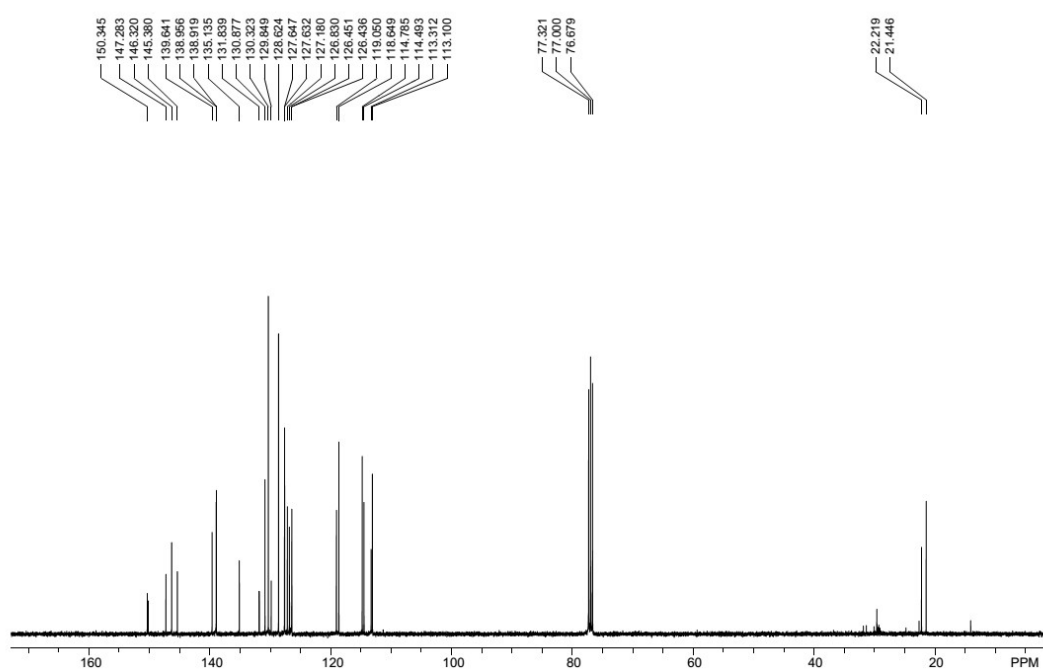
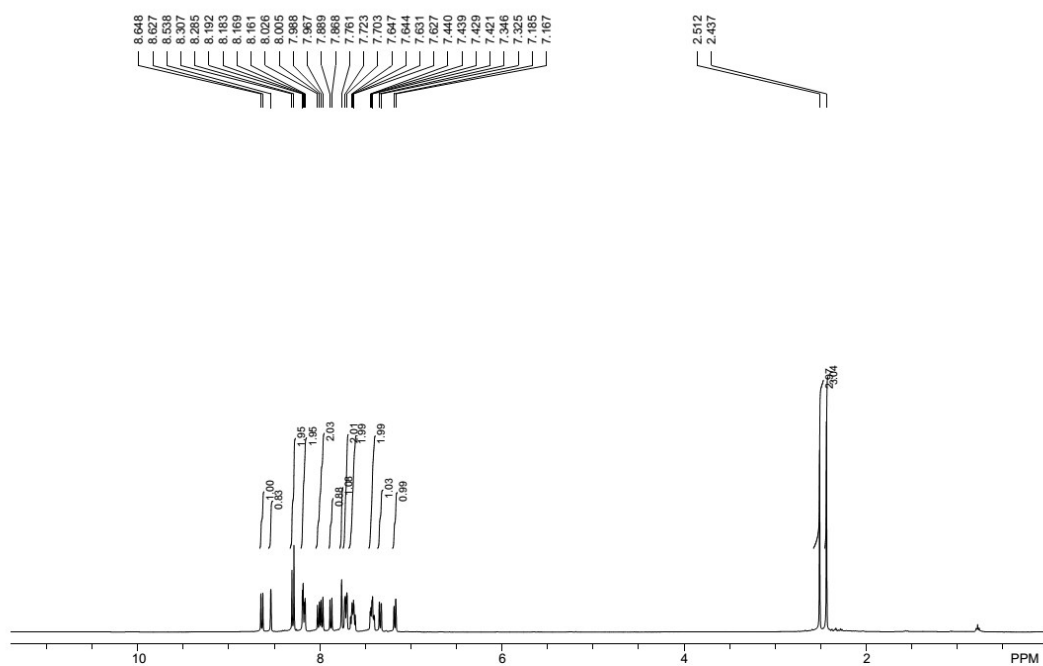
1-(1-methyl-1H-pyrrol-2-yl)-1H-benzo[d][1,2,3]triazole (3wa)



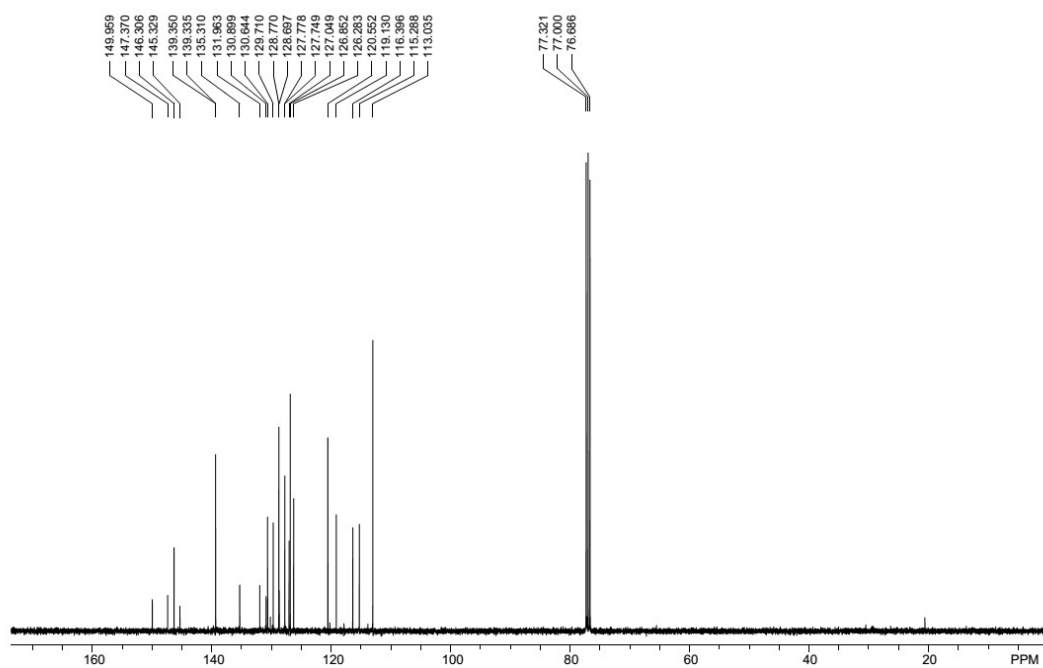
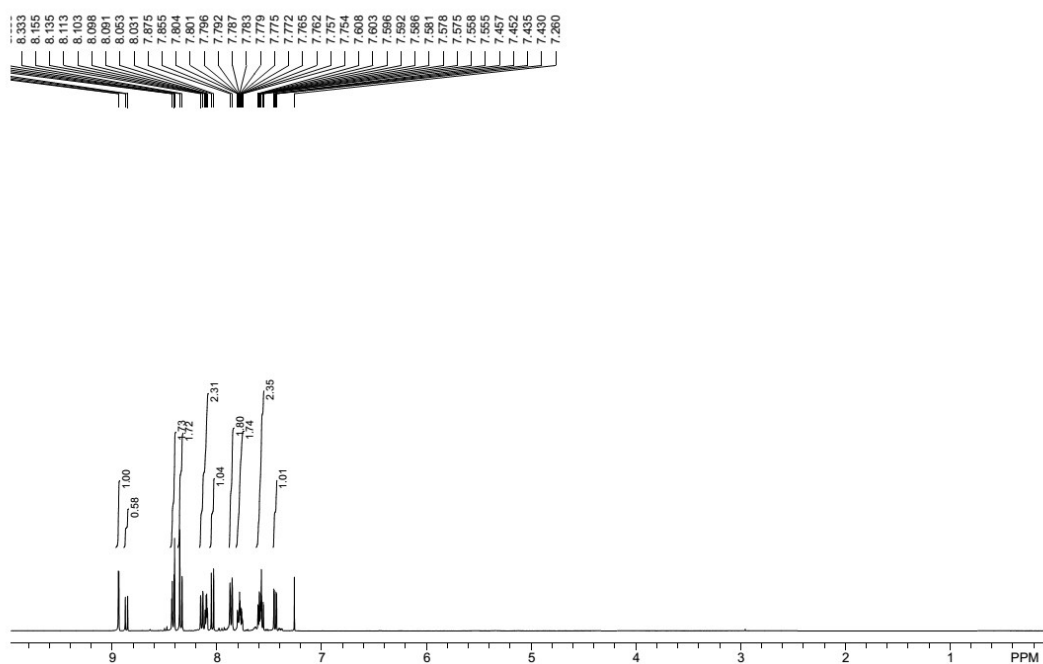
2-(1H-benzo[d]imidazol-1-yl)quinolone (3ab)



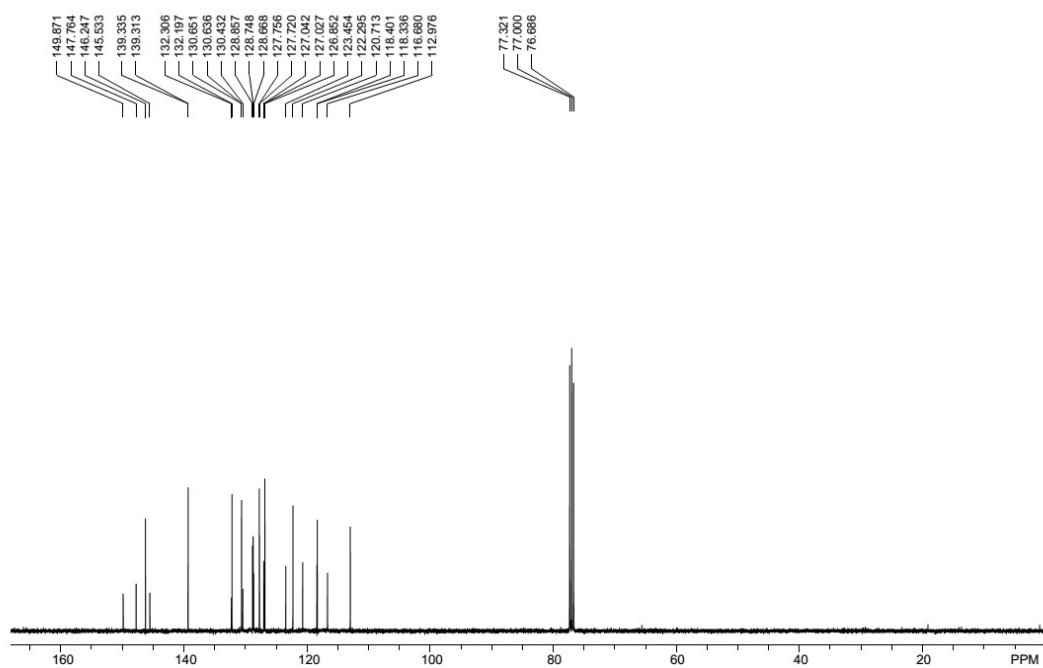
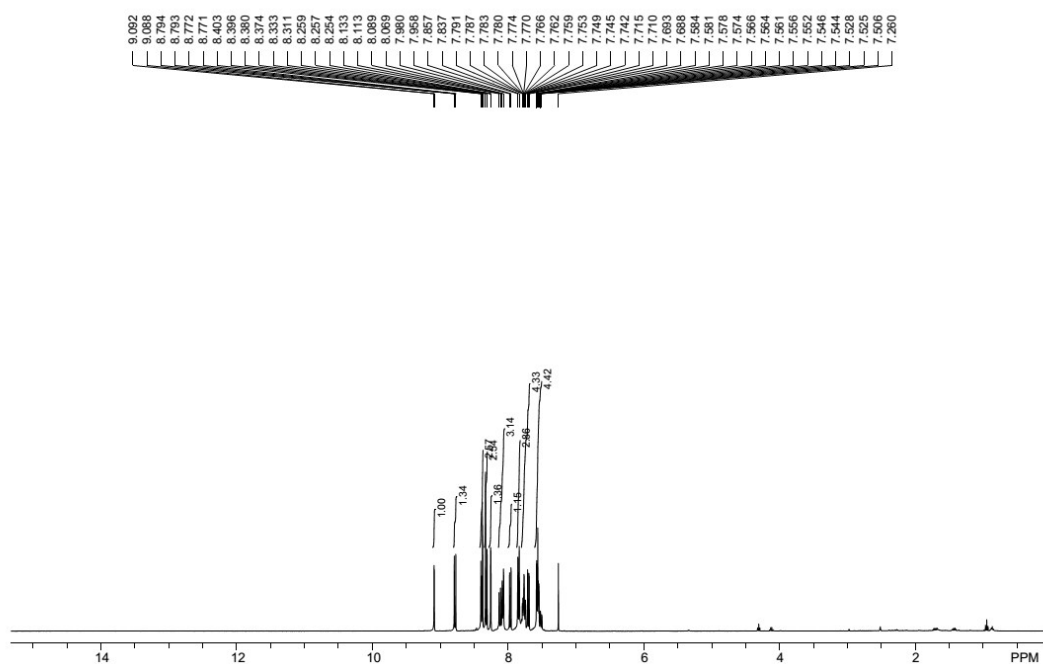
2-(5-methyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3ac)



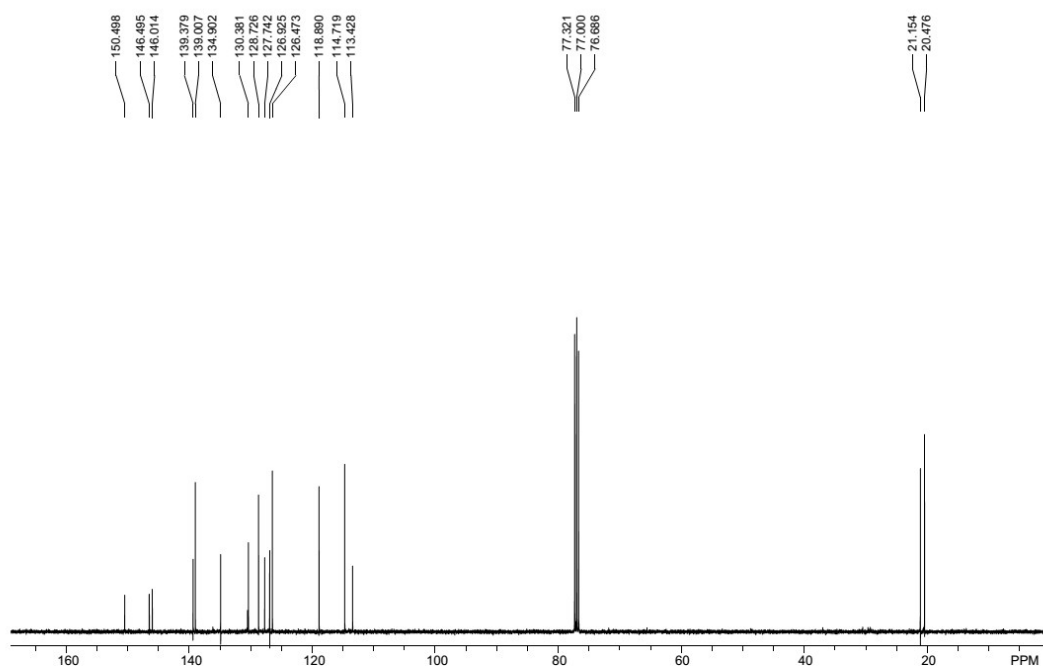
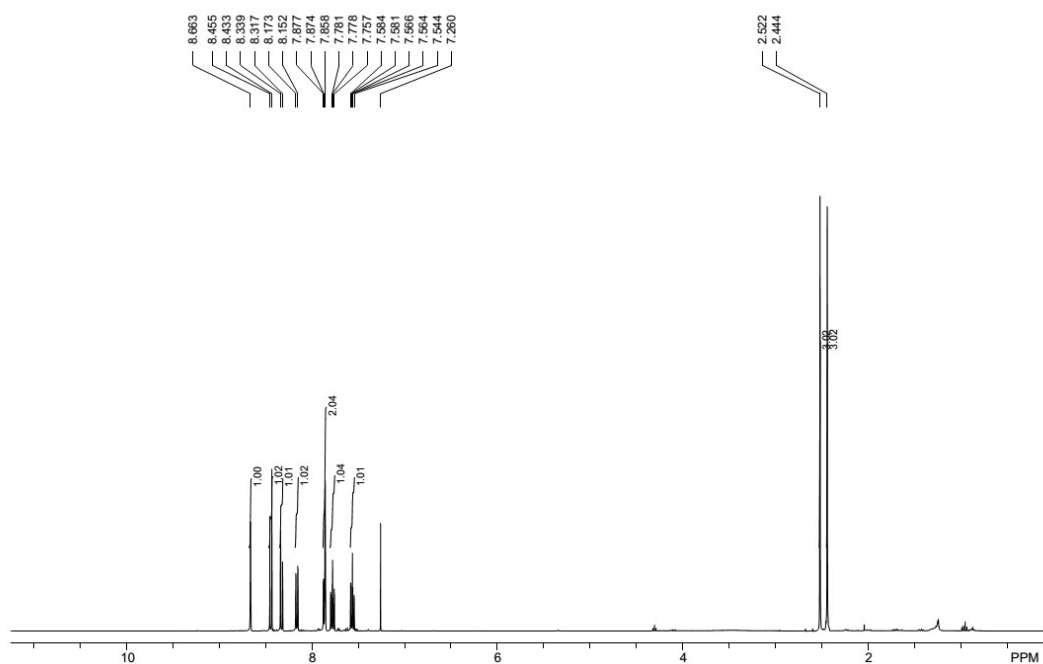
2-[5-chloro-1H-benzo[d][1,2,3]triazol-1-yl]quinolone (3ad)



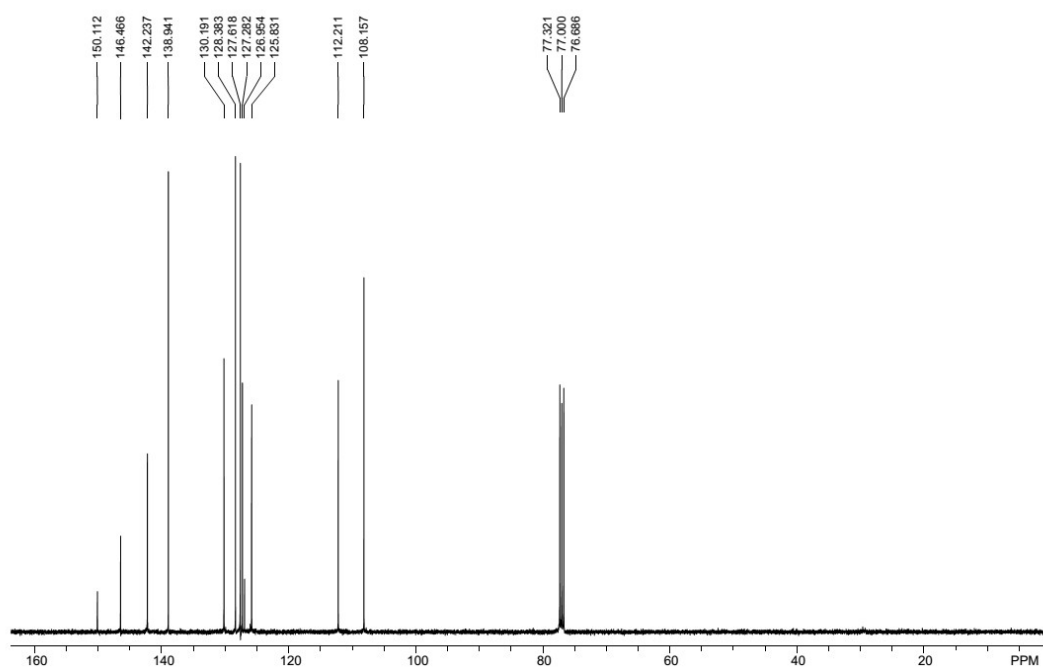
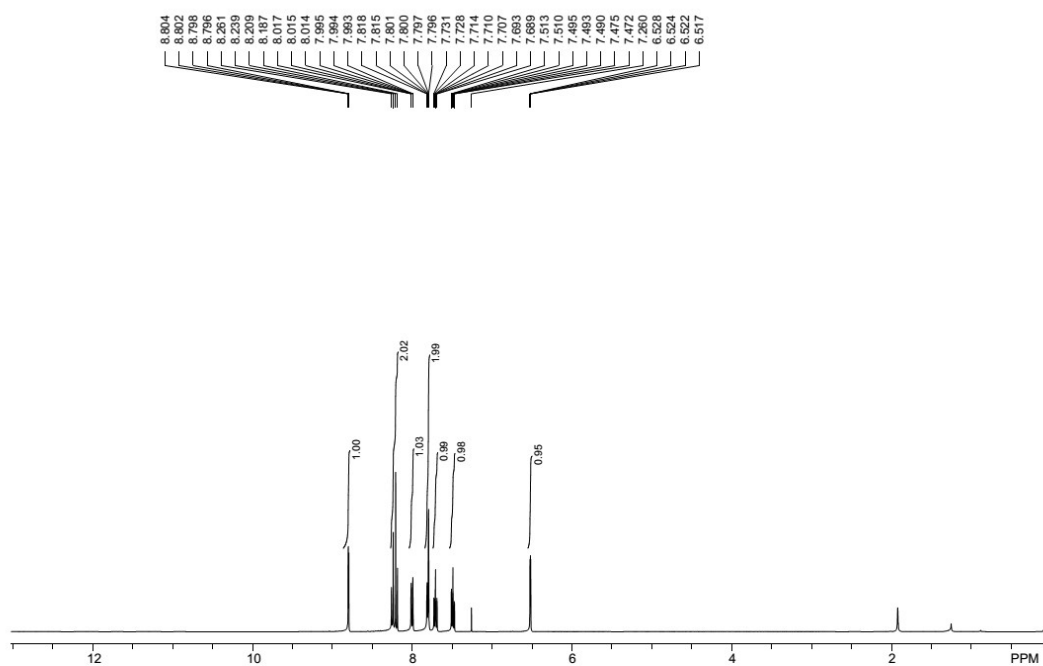
2-(5-bromo-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3ae)



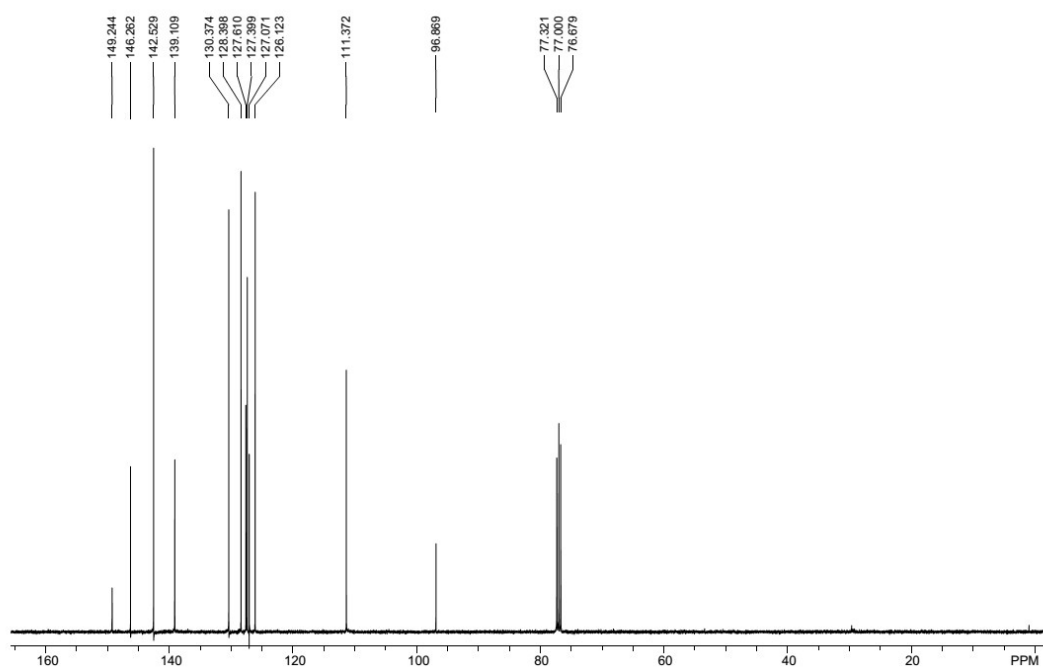
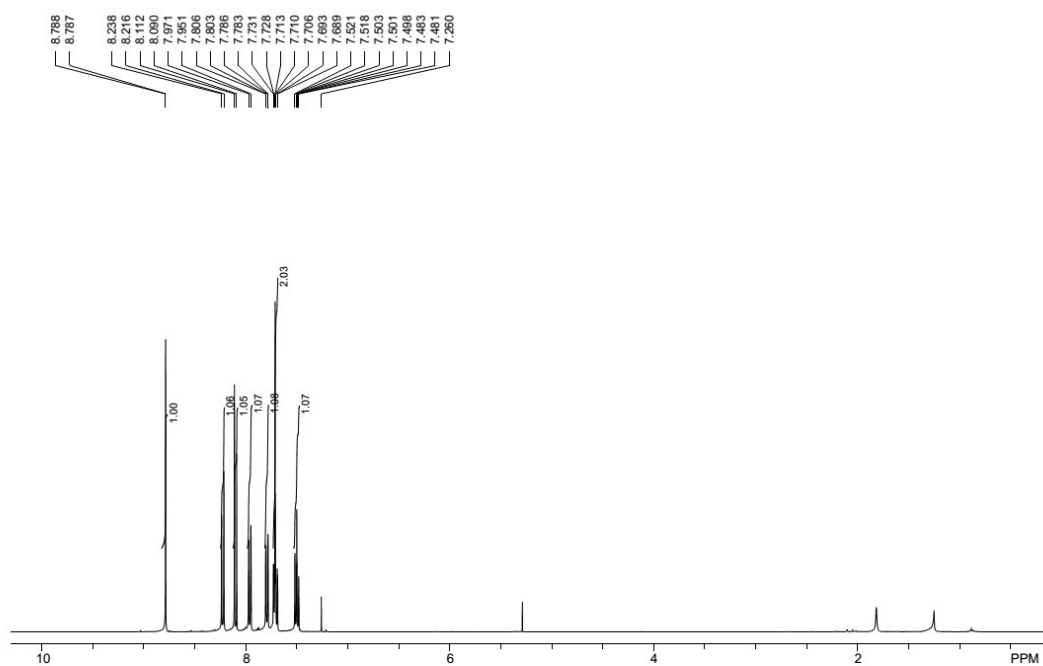
2-(5,6-dimethyl-1H-benzo[d][1,2,3]triazol-1-yl)quinolone (3af)



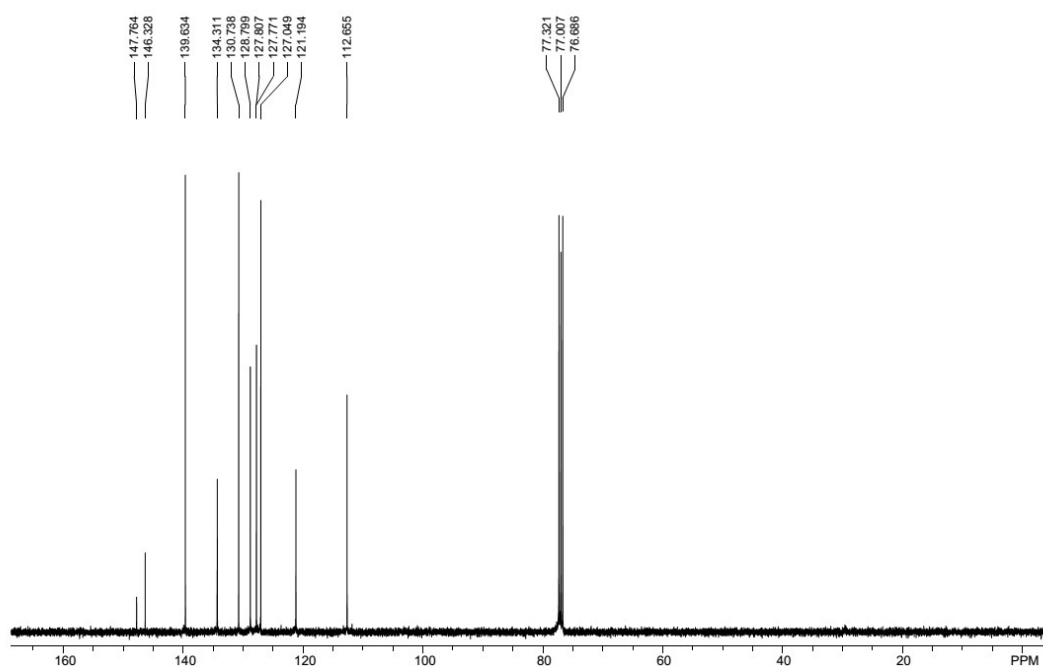
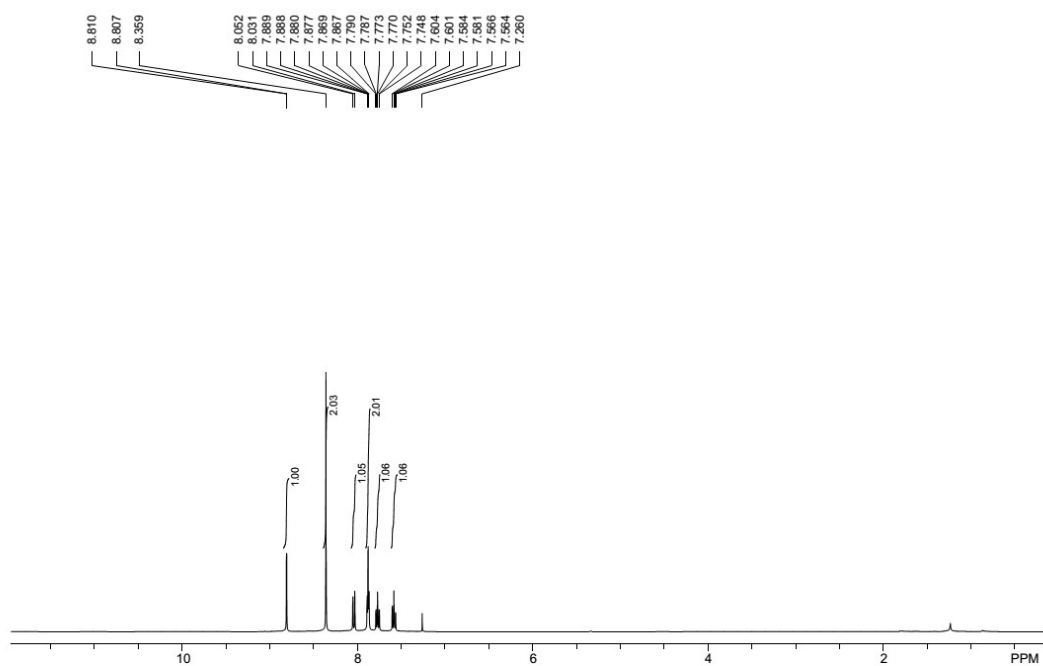
2-(1H-pyrazol-1-yl)quinolone (3ag)



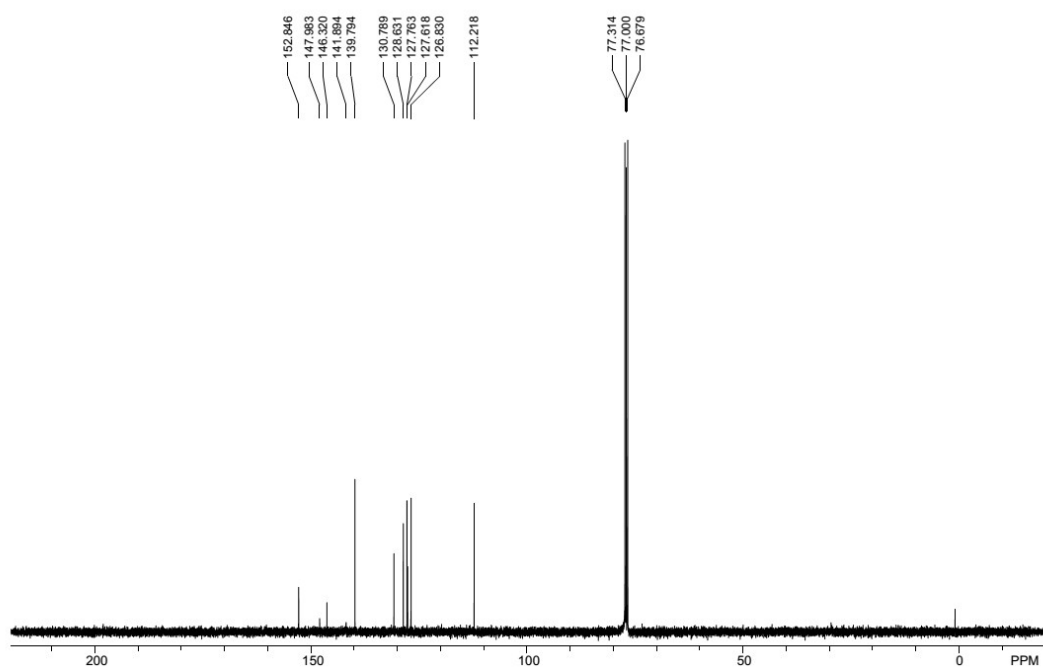
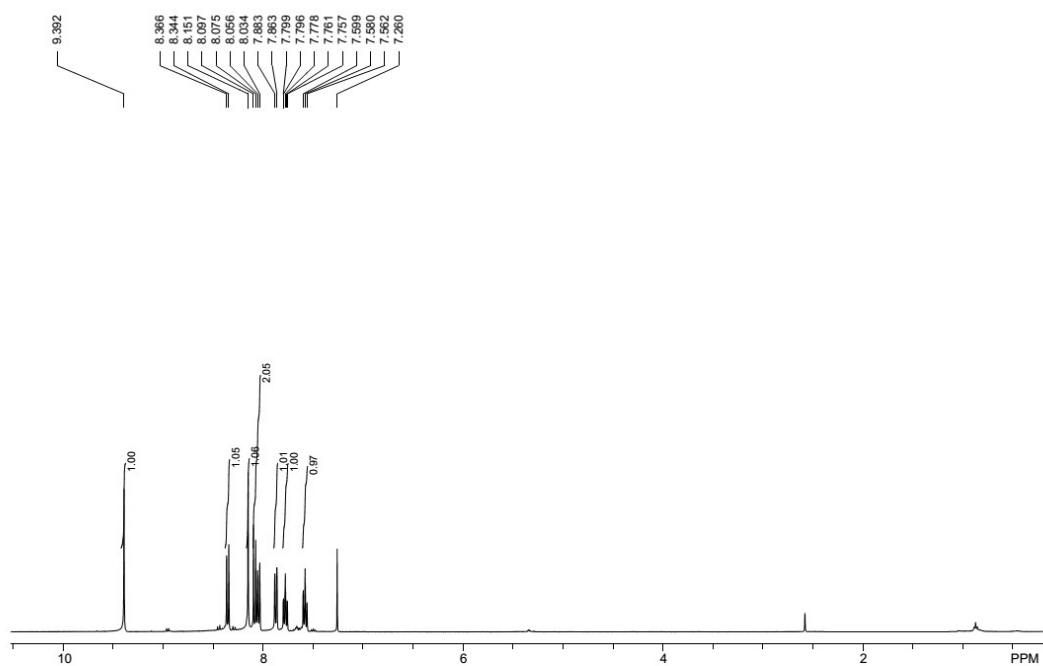
2-(4-bromo-1H-pyrazol-1-yl)quinolone (3ah)



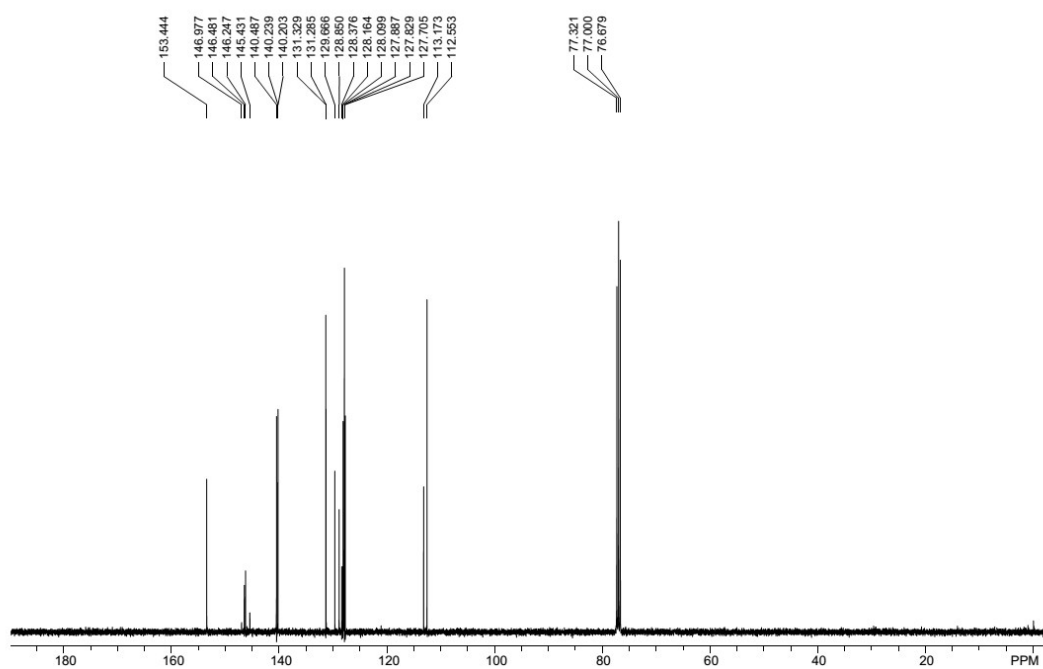
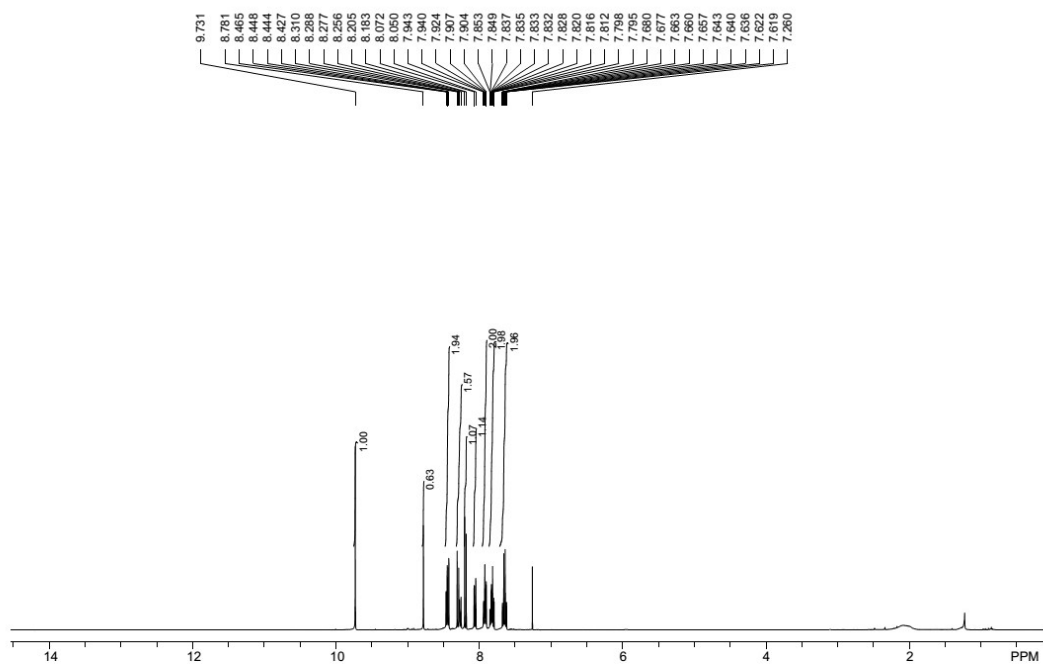
2-(1H-1,2,3-triazol-1-yl)quinolone (3ai)



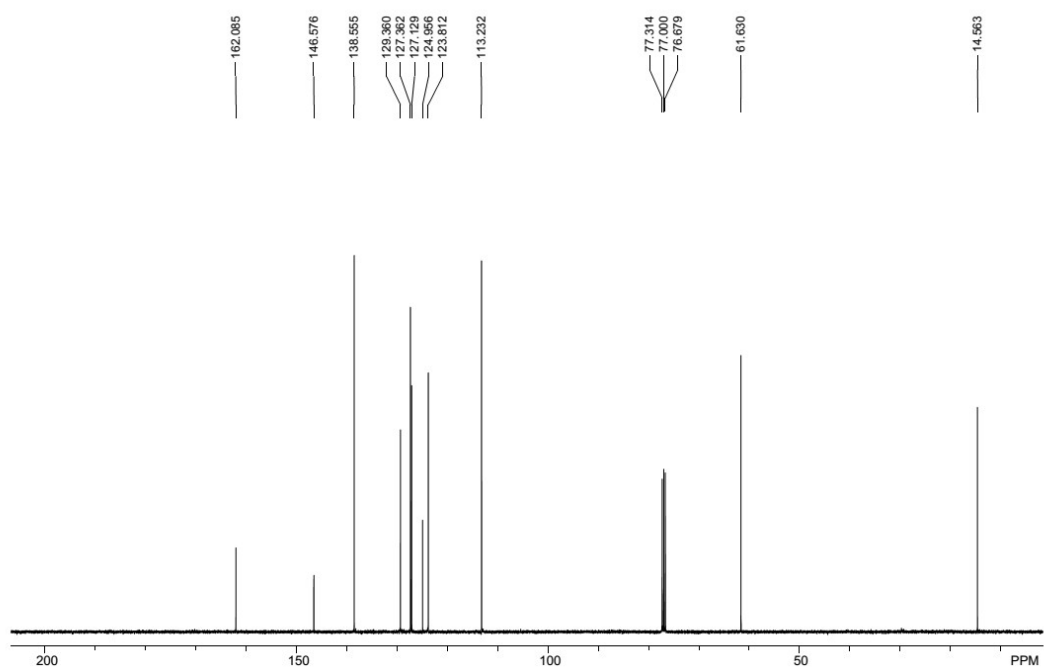
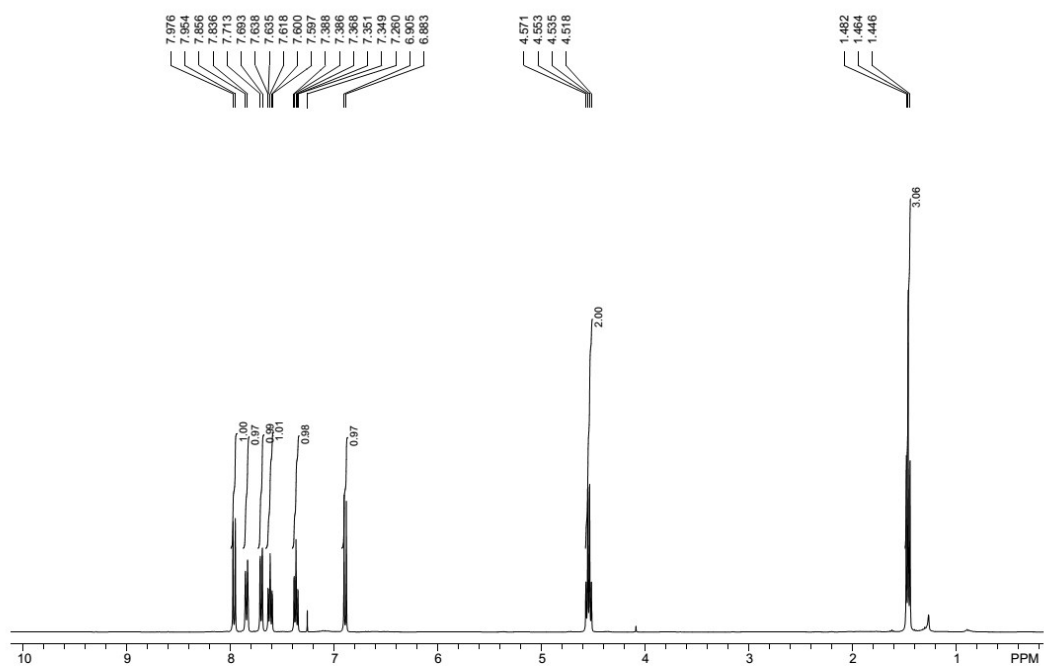
2-(1H-1,2,4-triazol-1-yl)quinolone (3aj)



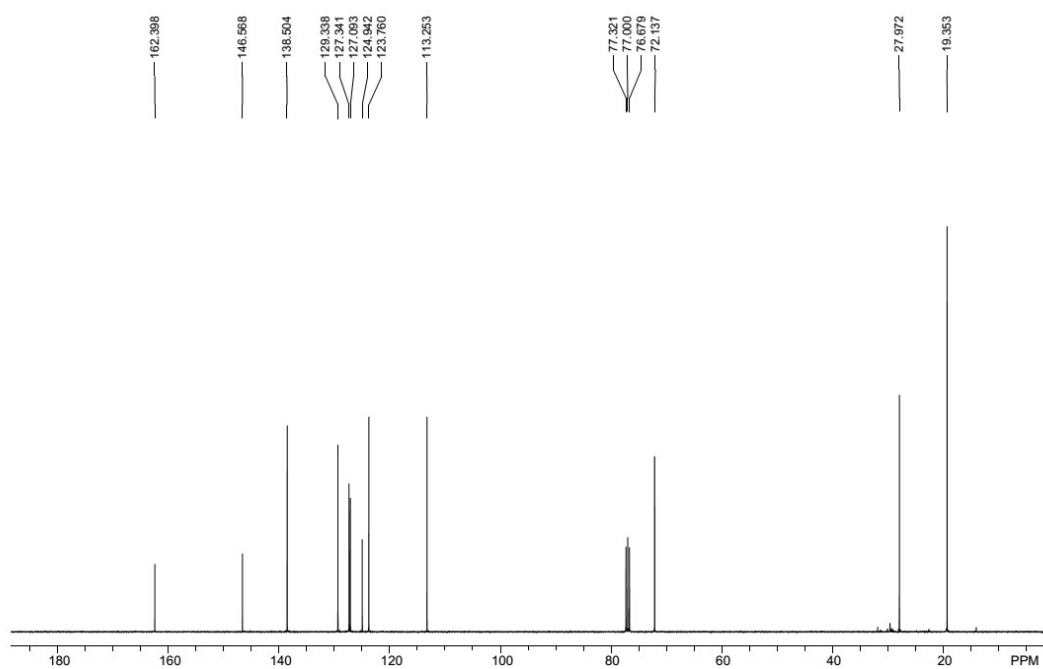
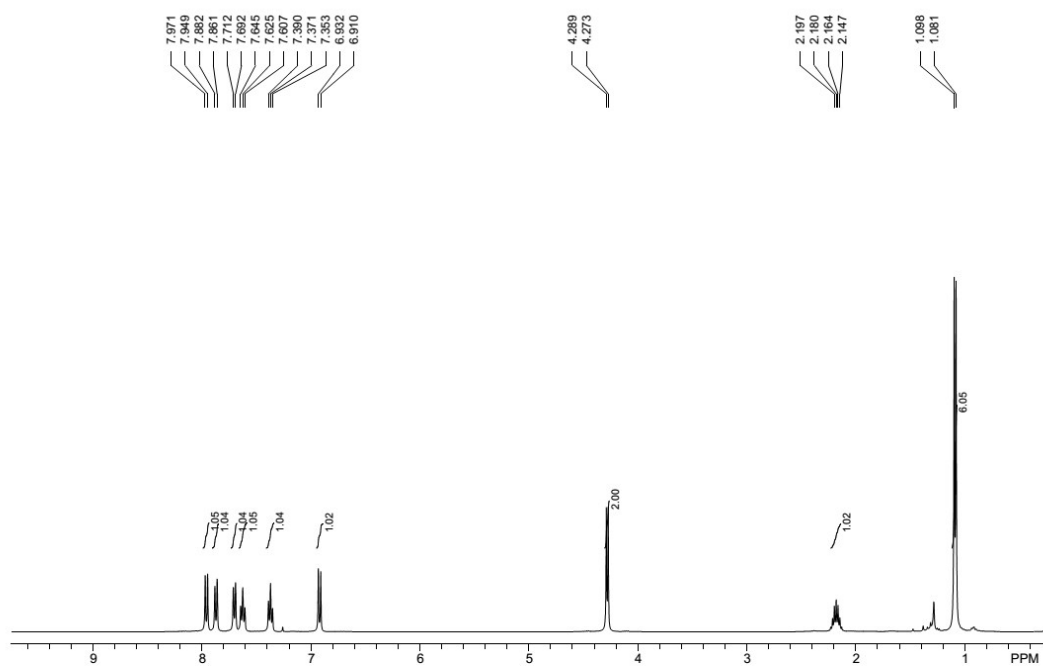
2-(2H-tetrazol-2-yl)quinolone (3ak)



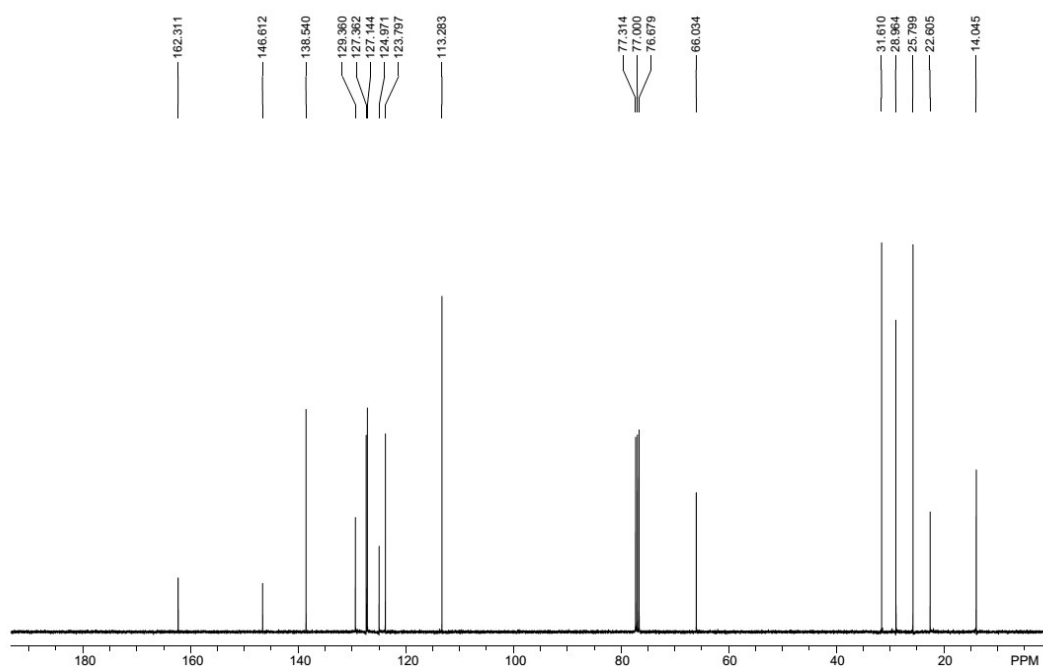
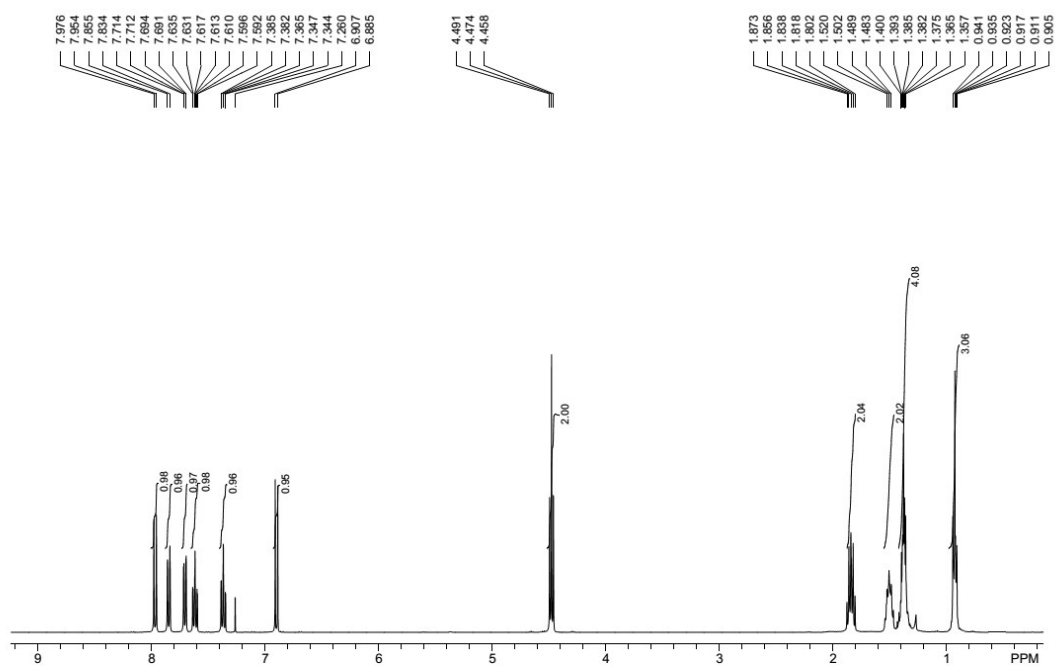
2-ethoxyquinoline (4aa)



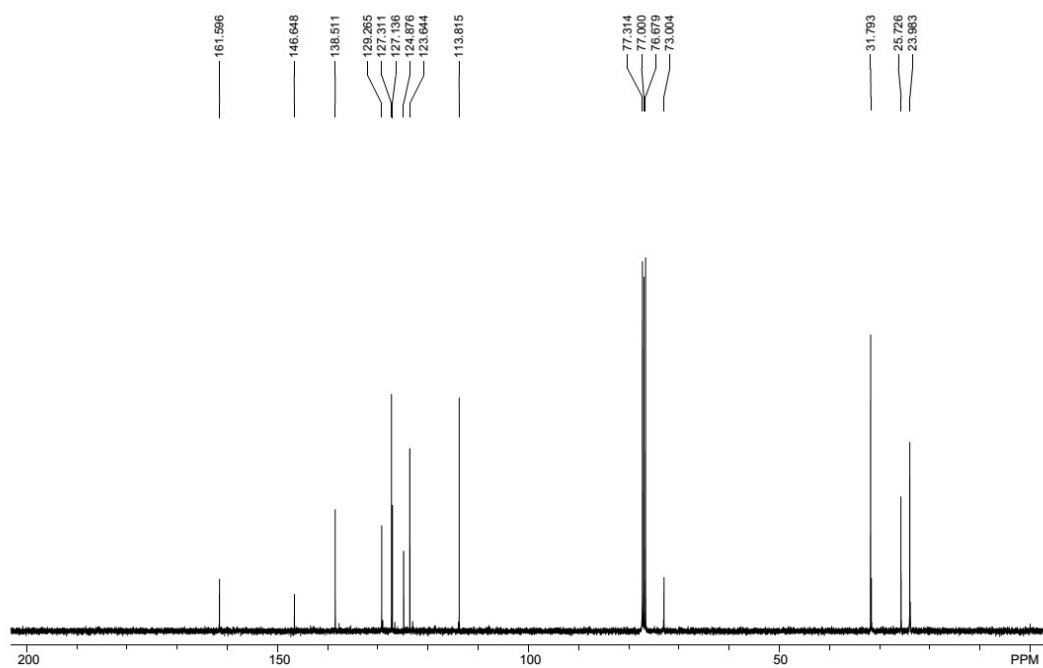
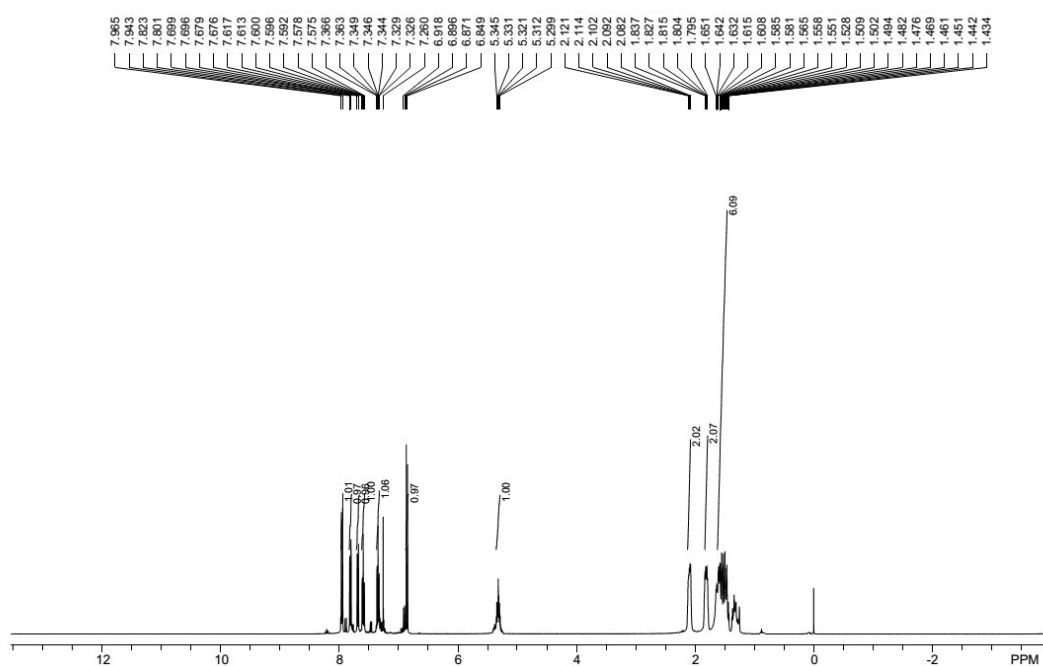
2-isobutoxyquinoline (4ab)



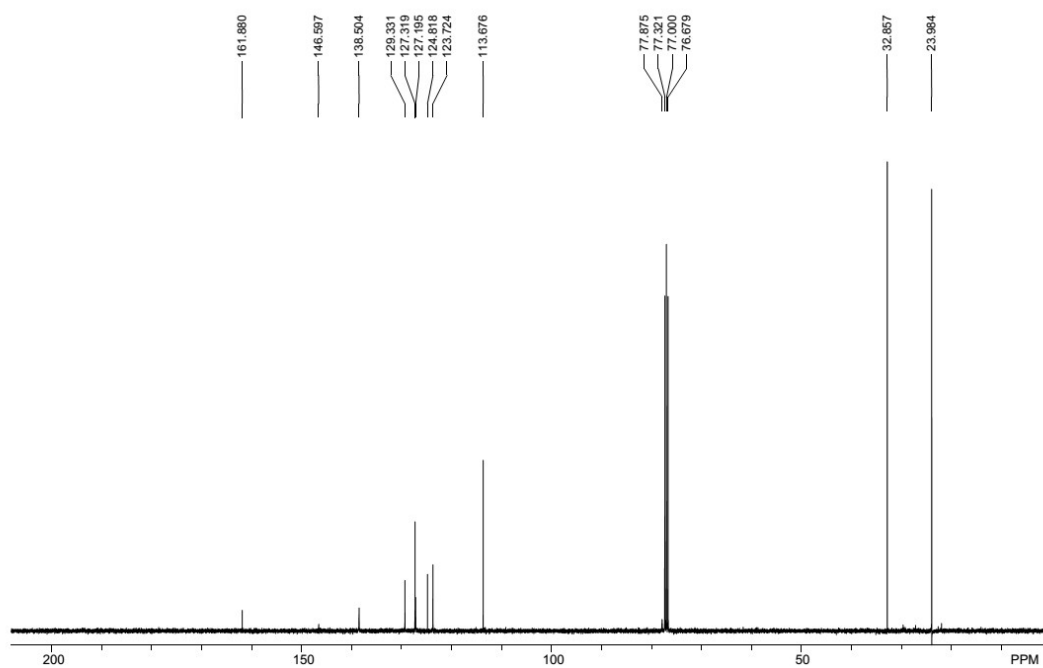
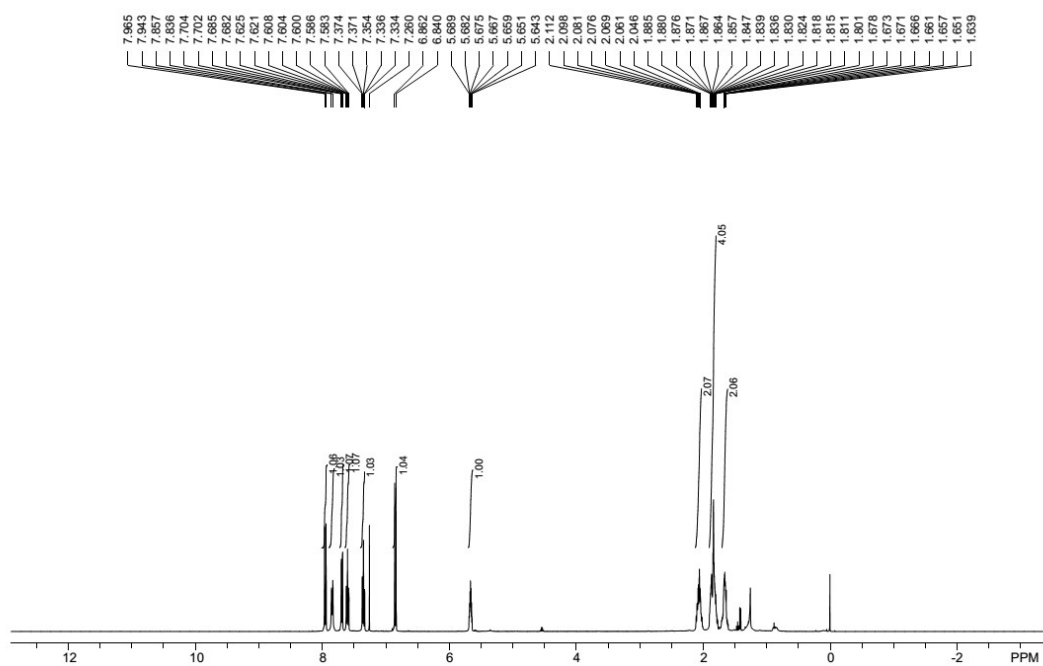
2-(hexyloxy)quinolone (4ac)



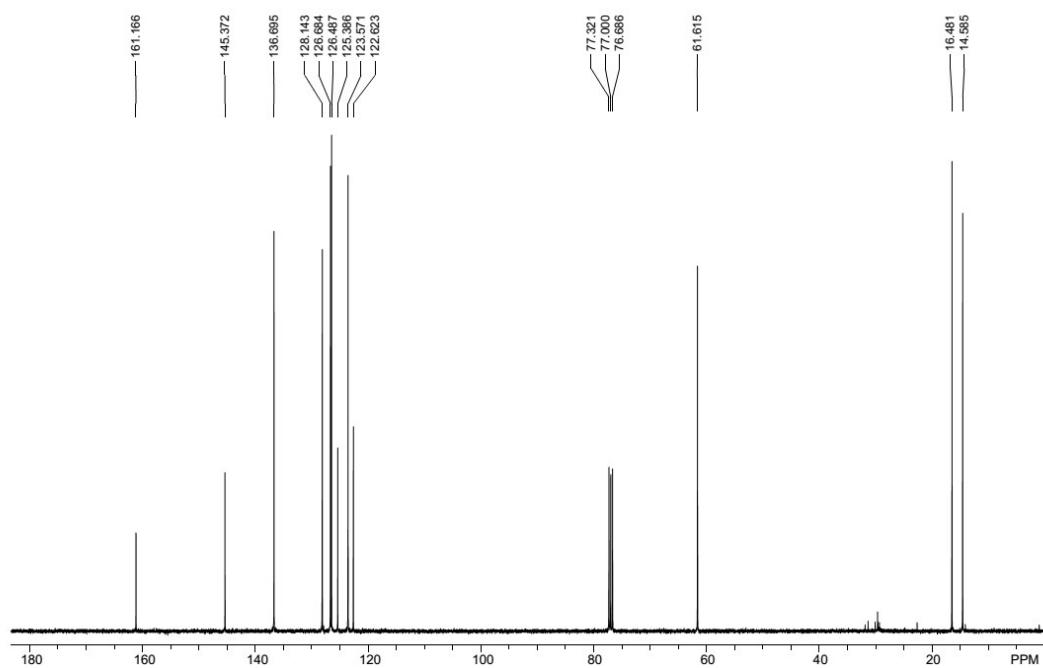
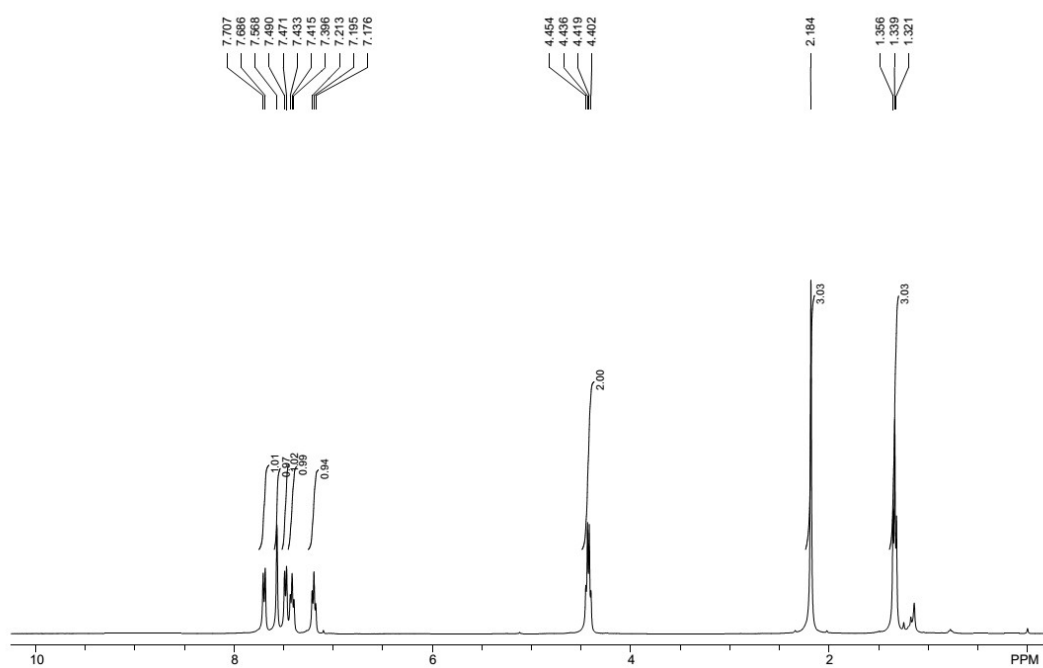
2-(cyclohexyloxy)quinolone (4ad)



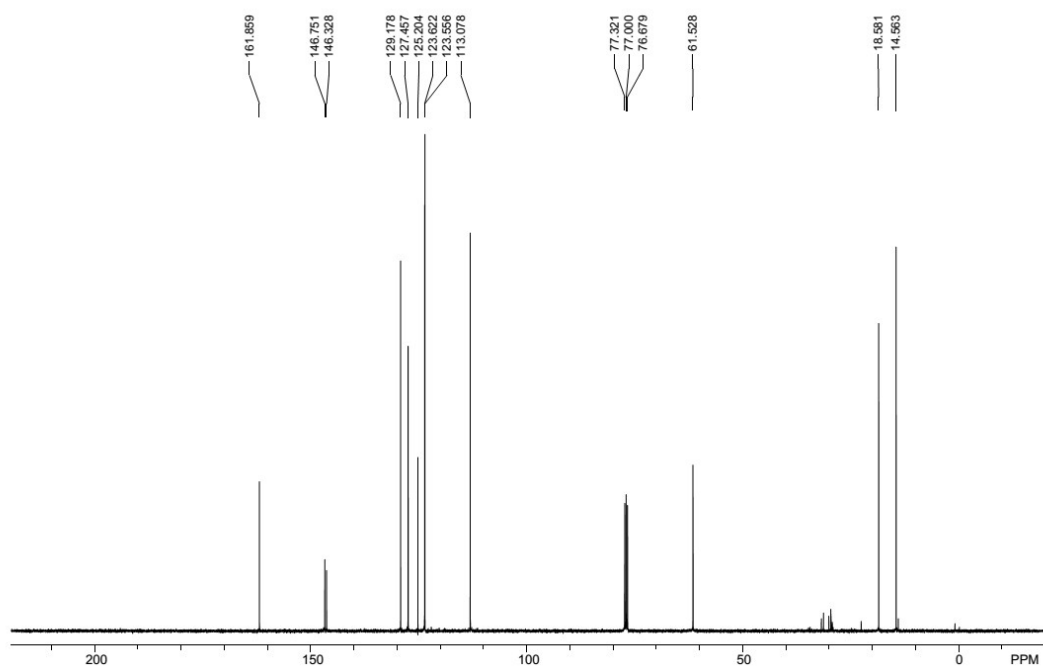
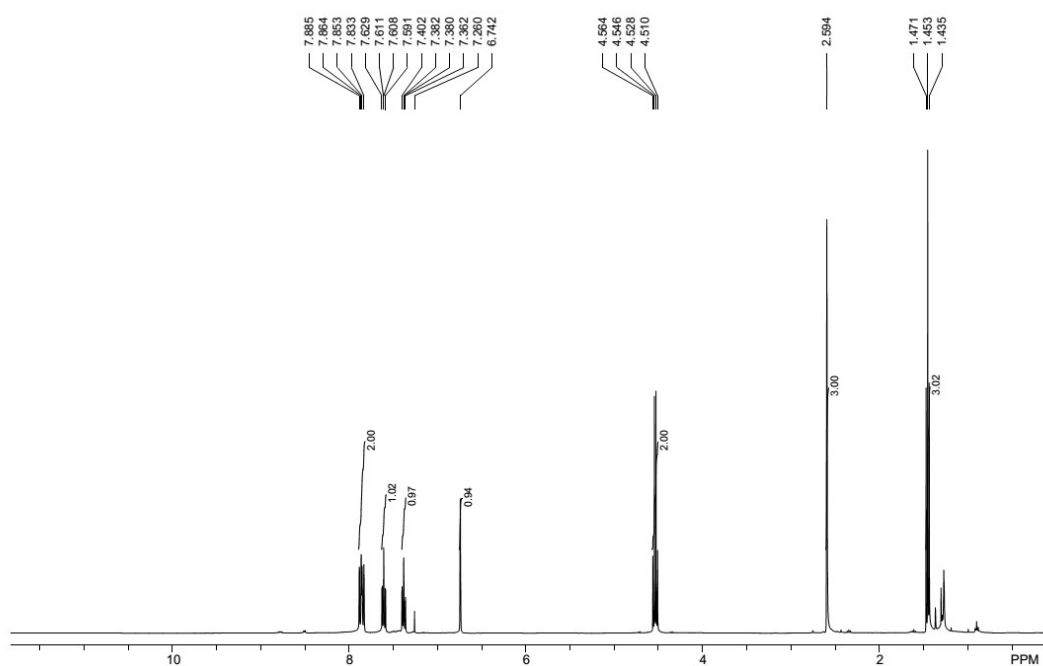
2-(cyclopentyloxy)quinolone (4ae)



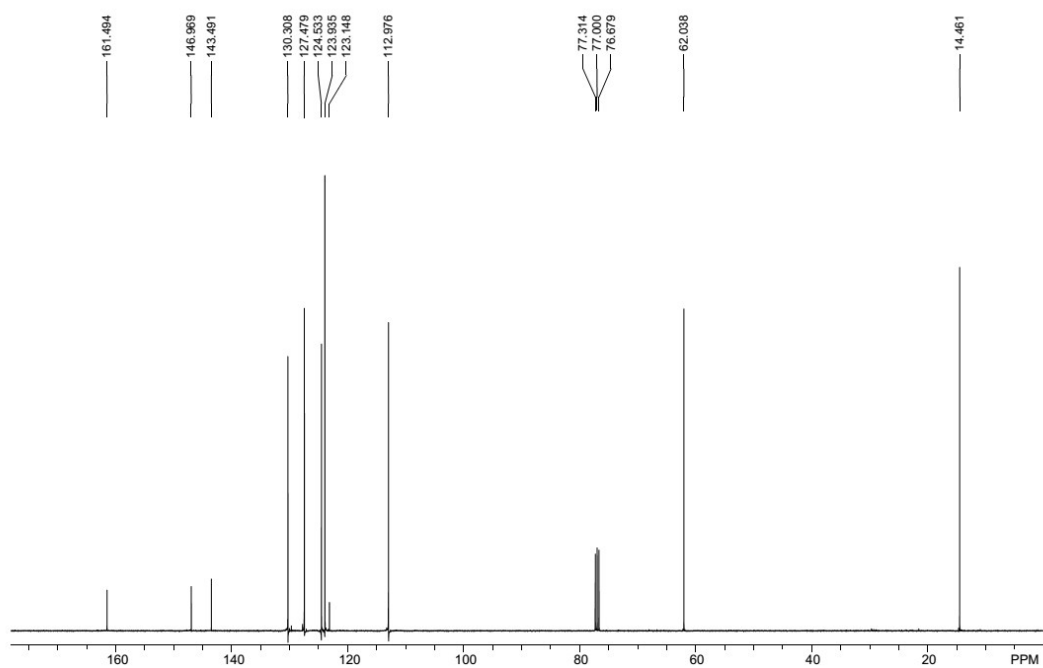
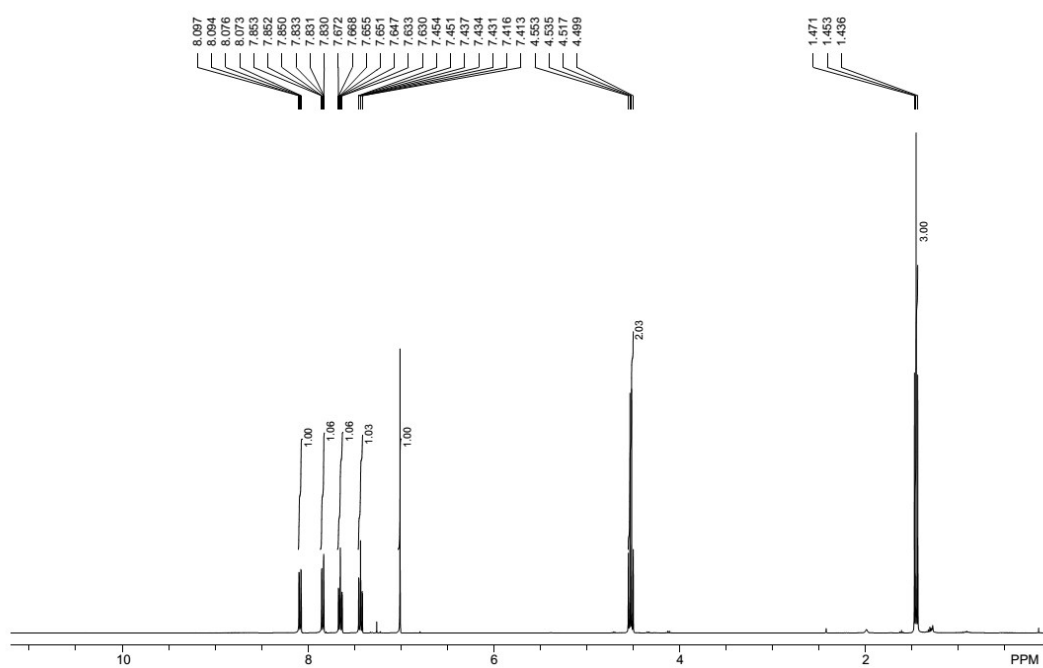
2-ethoxy-3-methylquinoline (4bb)



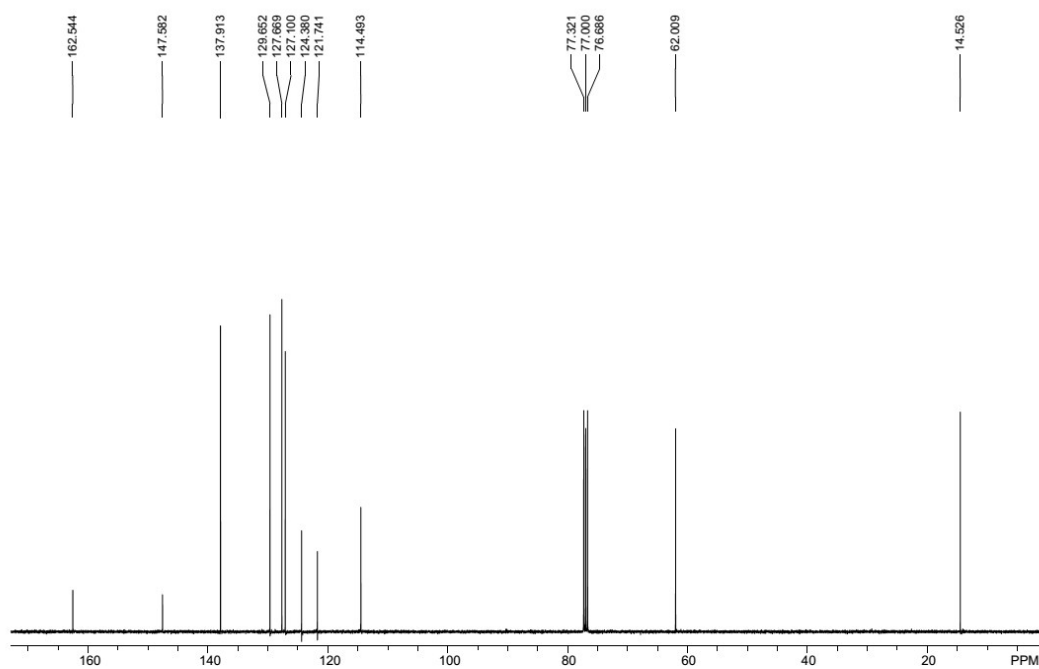
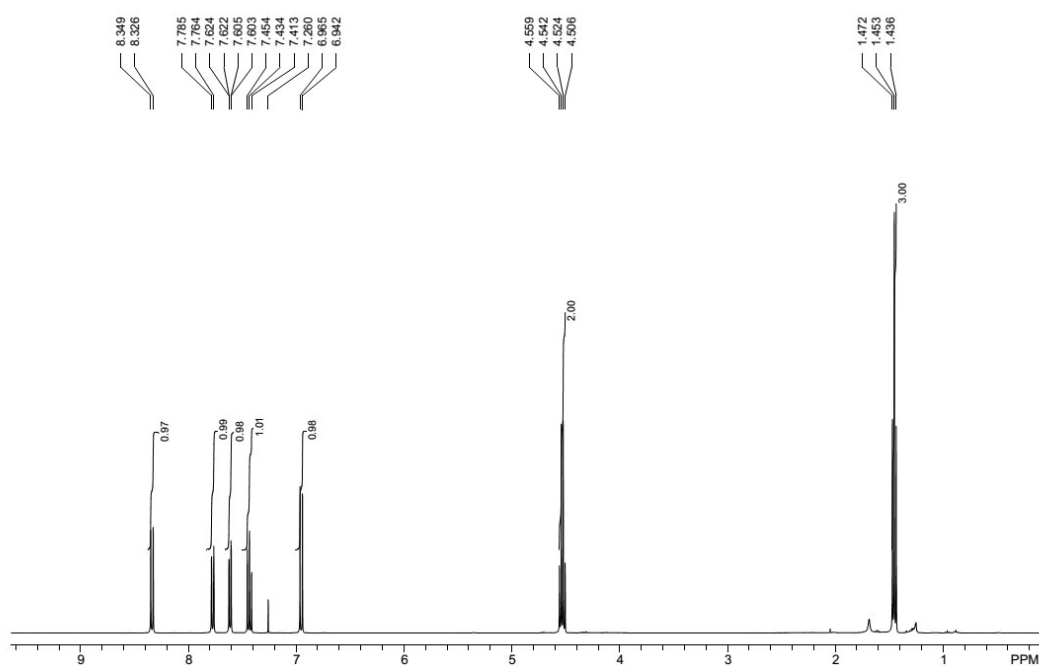
2-ethoxy-4-methylquinoline (4bc)



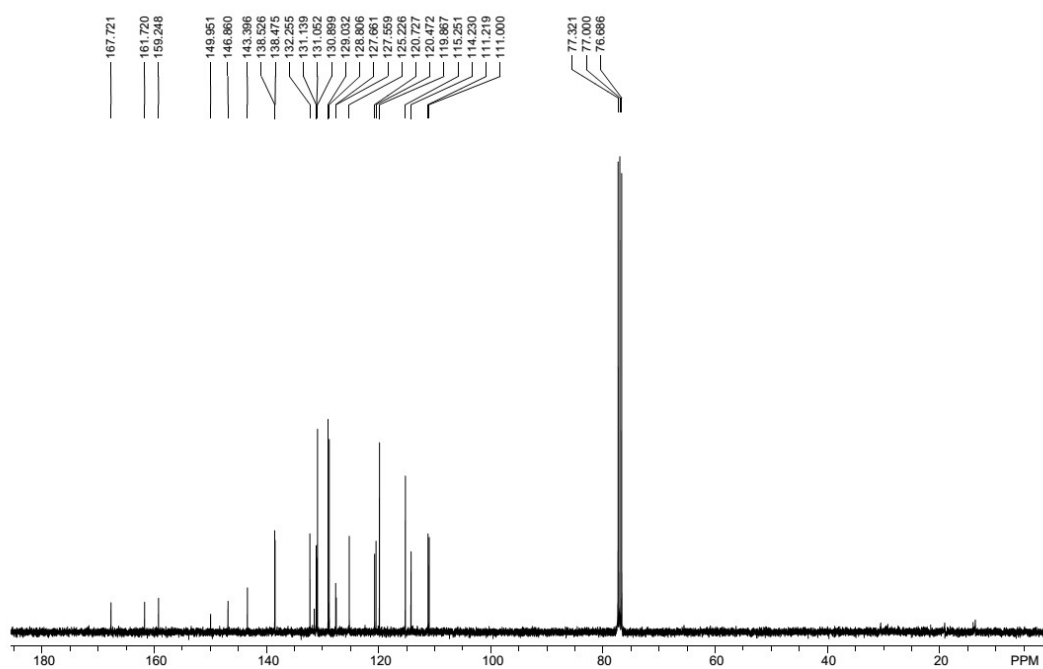
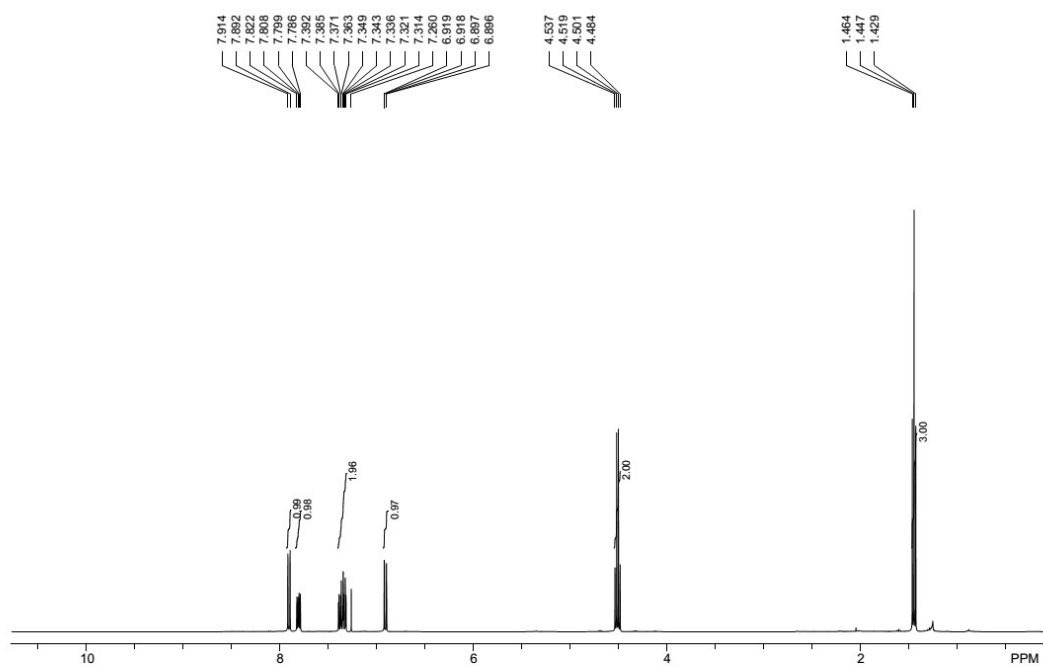
4-chloro-2-ethoxyquinoline (4bd)



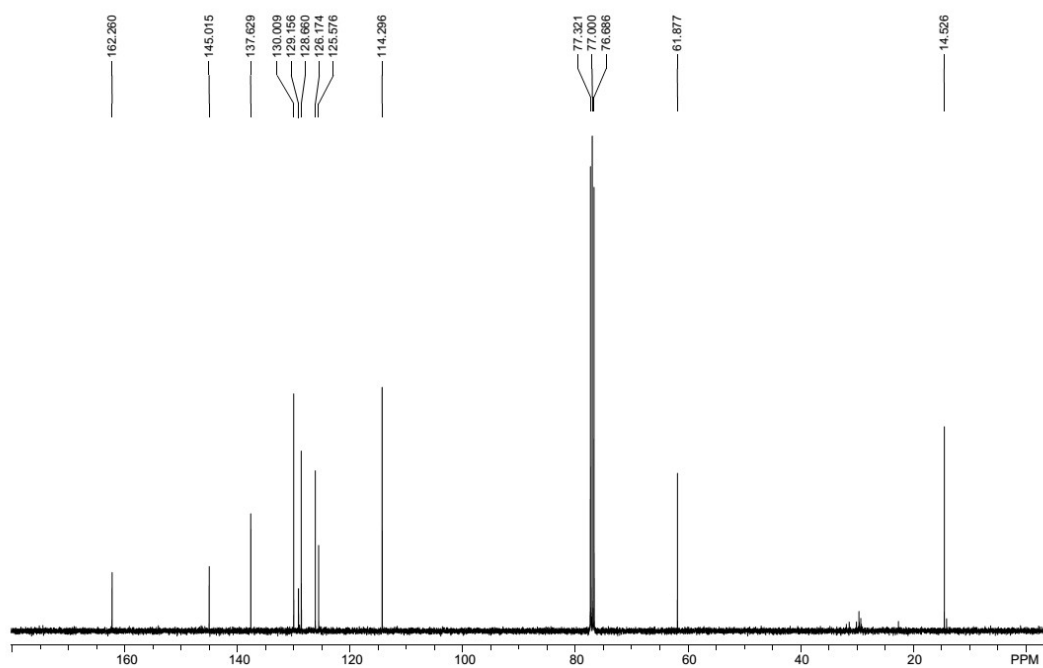
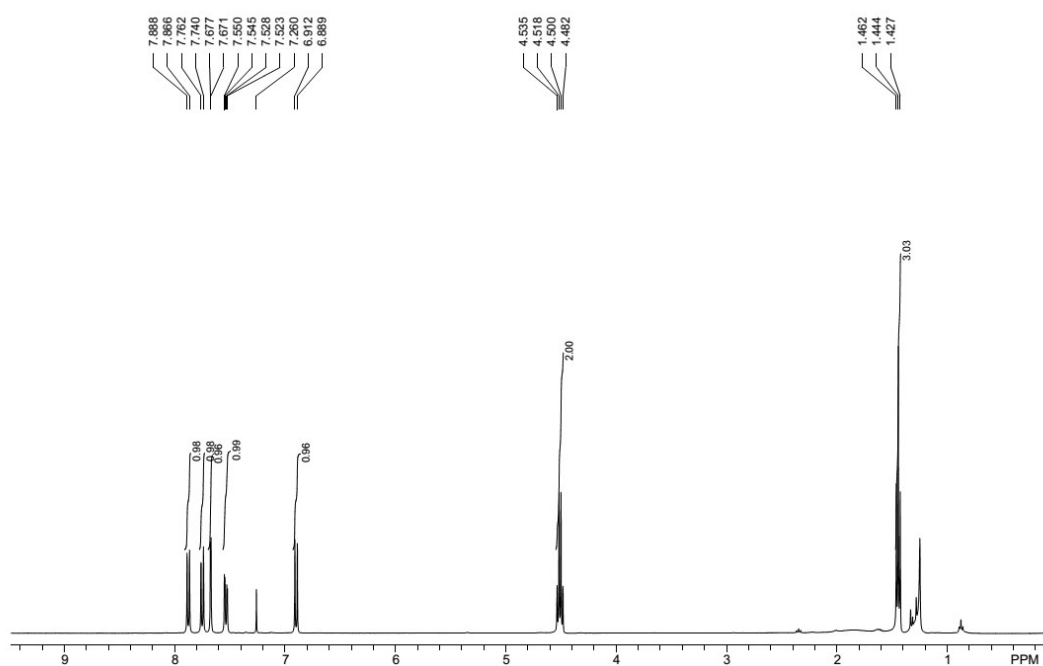
5-bromo-2-ethoxyquinoline (4be)



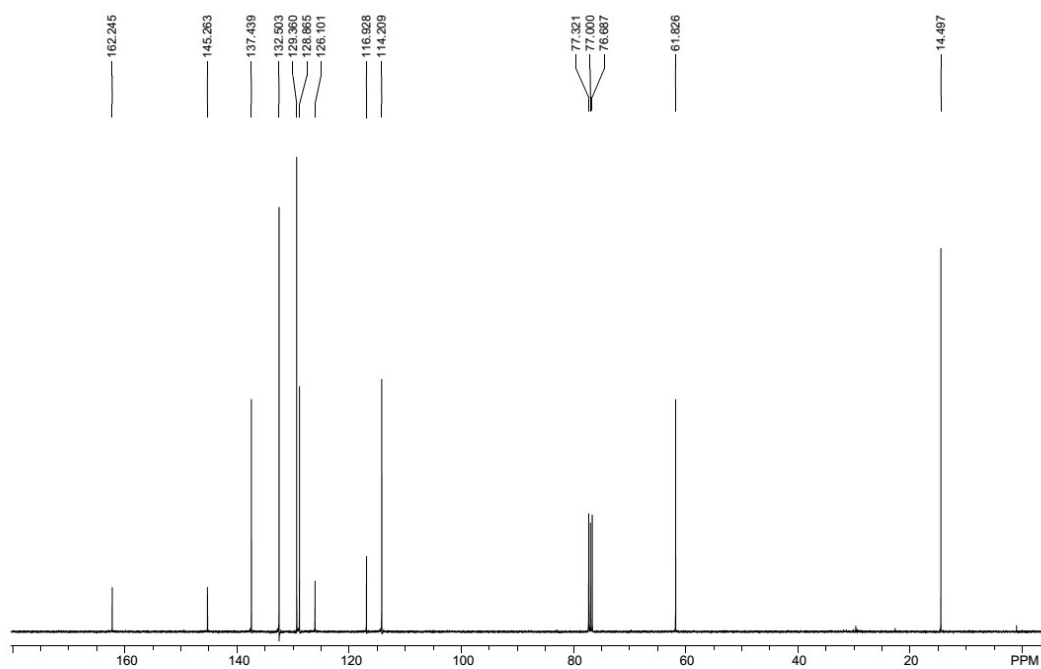
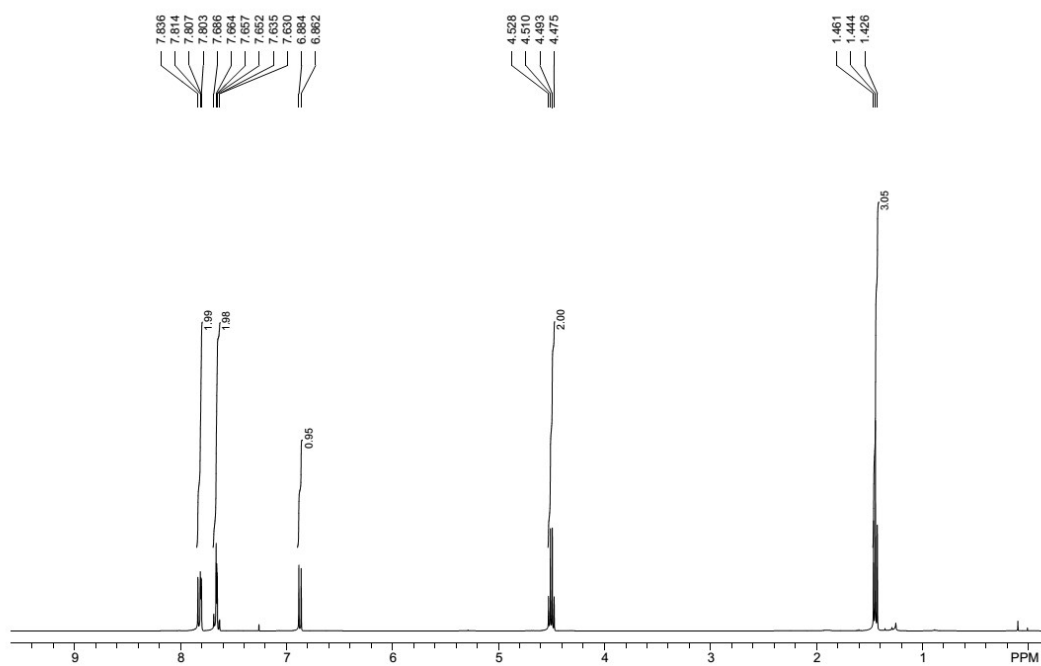
2-ethoxy-6-fluoroquinoline (4bf)



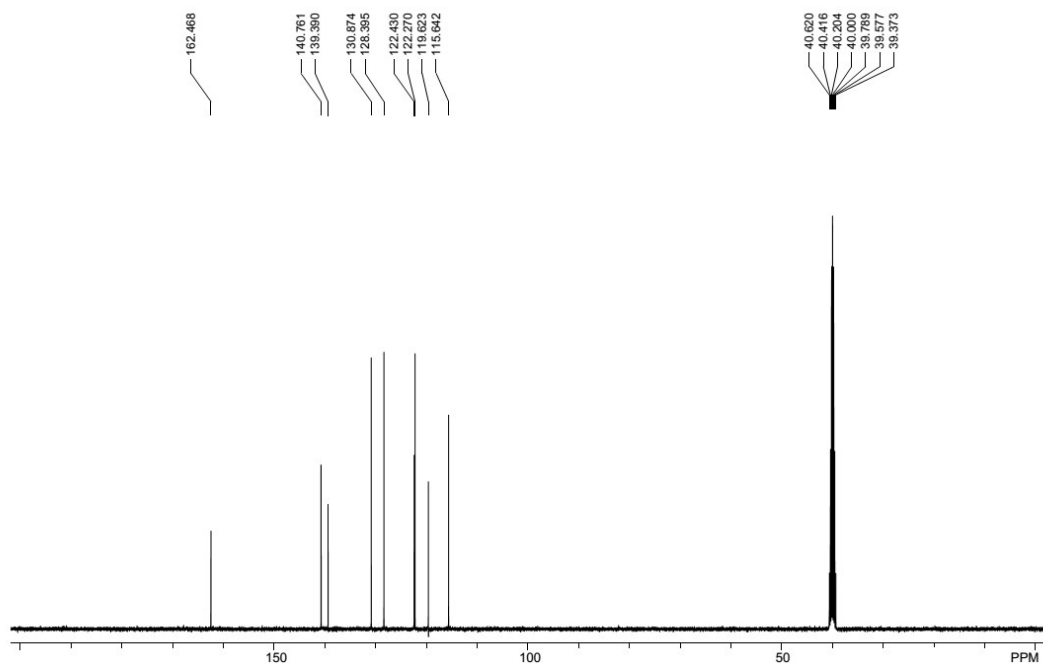
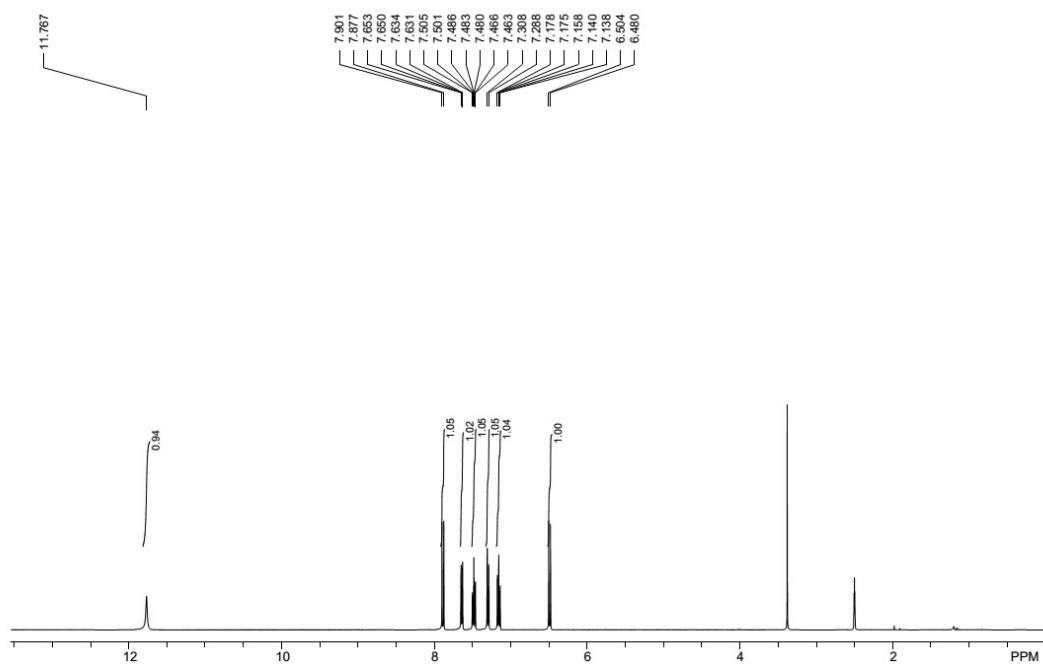
6-chloro-2-ethoxyquinoline (4bg)



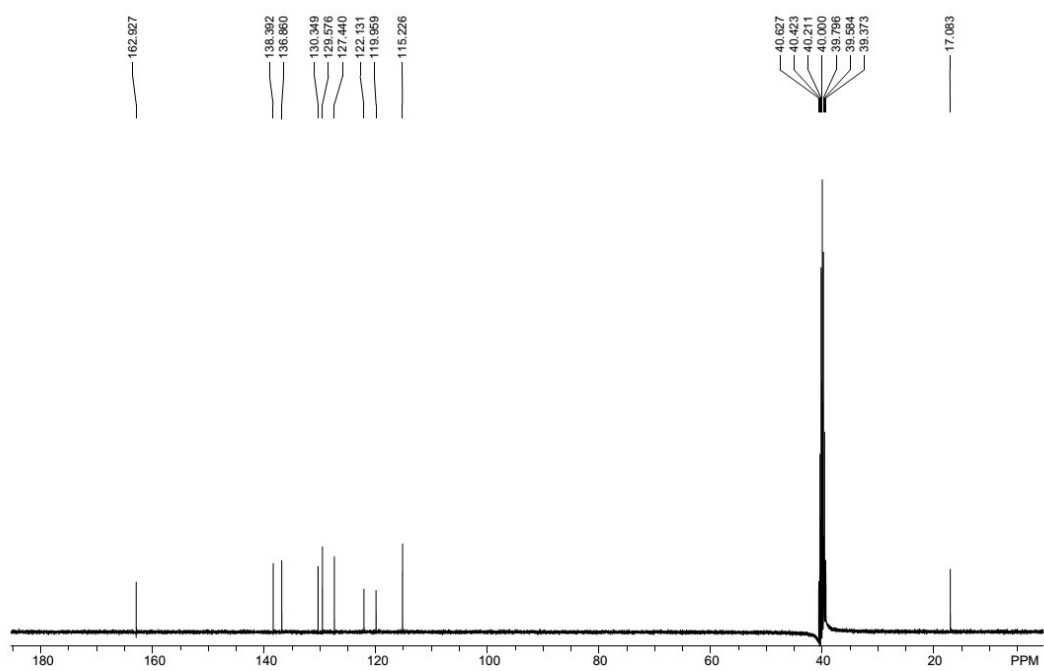
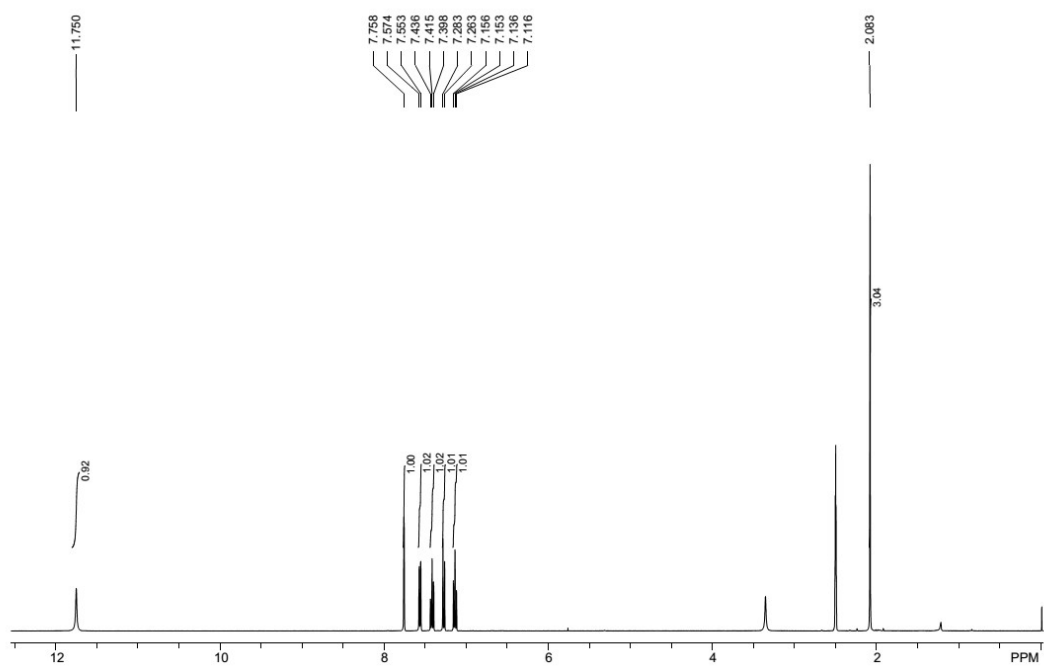
6-bromo-2-ethoxyquinoline (4bh)



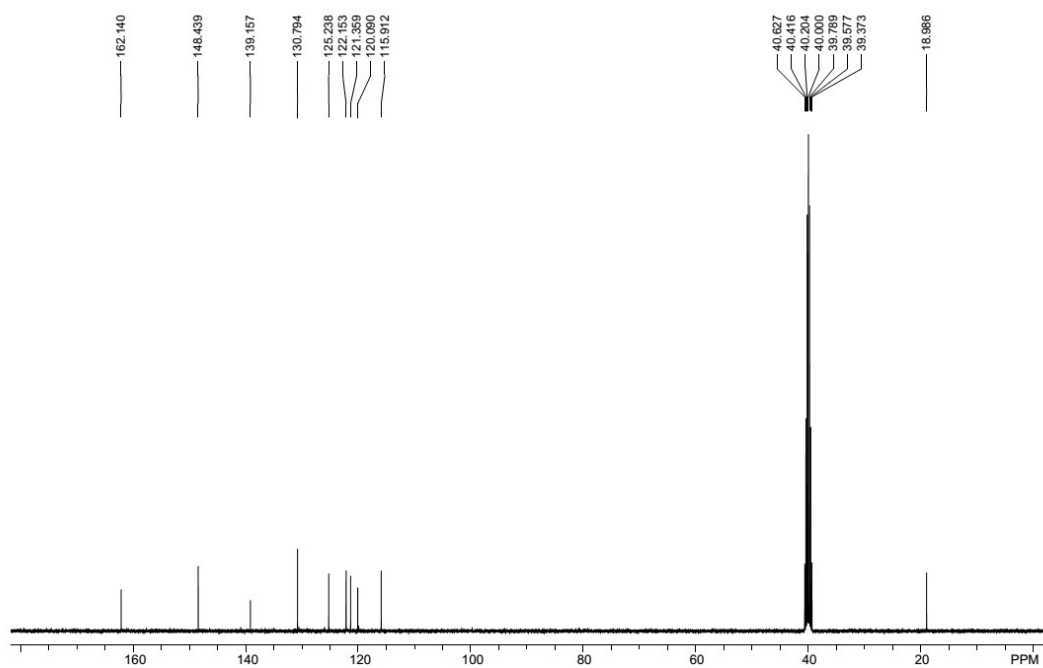
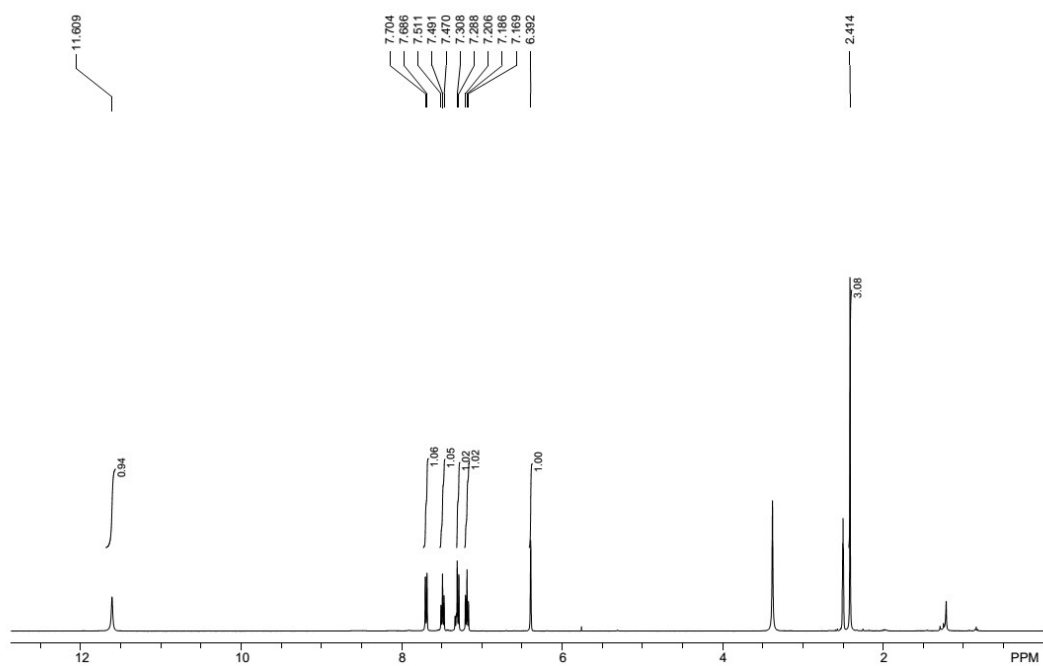
quinolin-2(1H)-one (5aa)



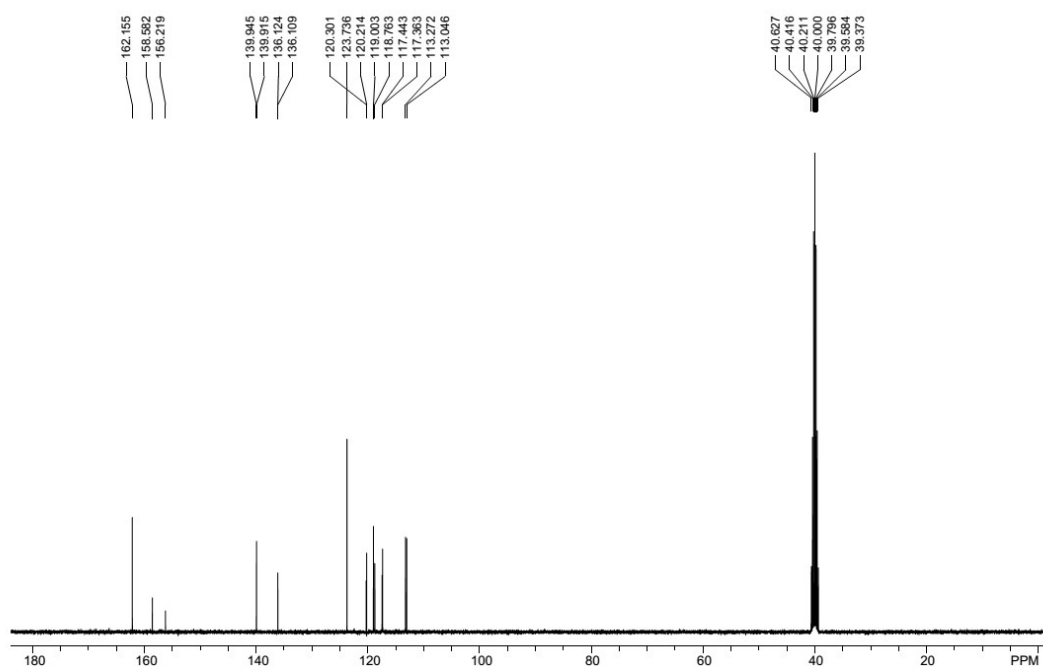
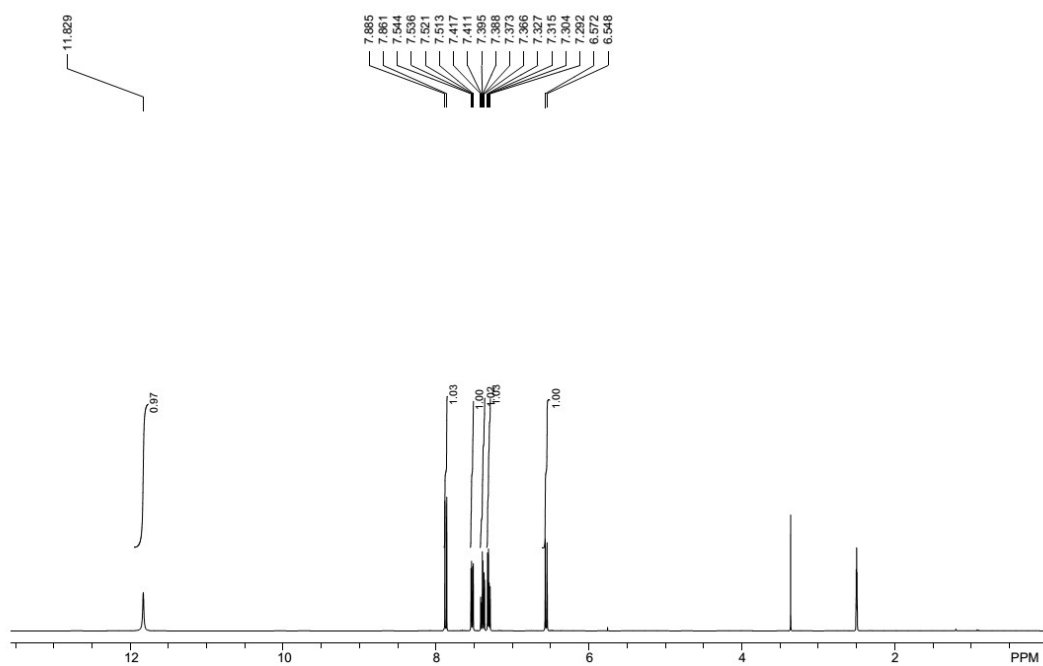
3-methylquinolin-2(1H)-one (5ab)



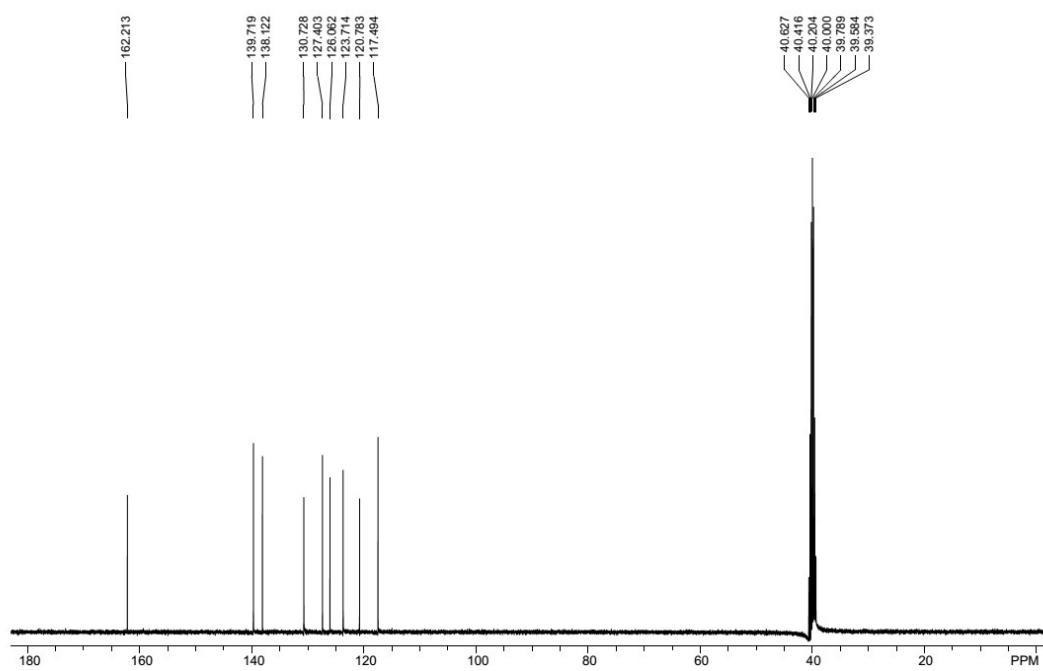
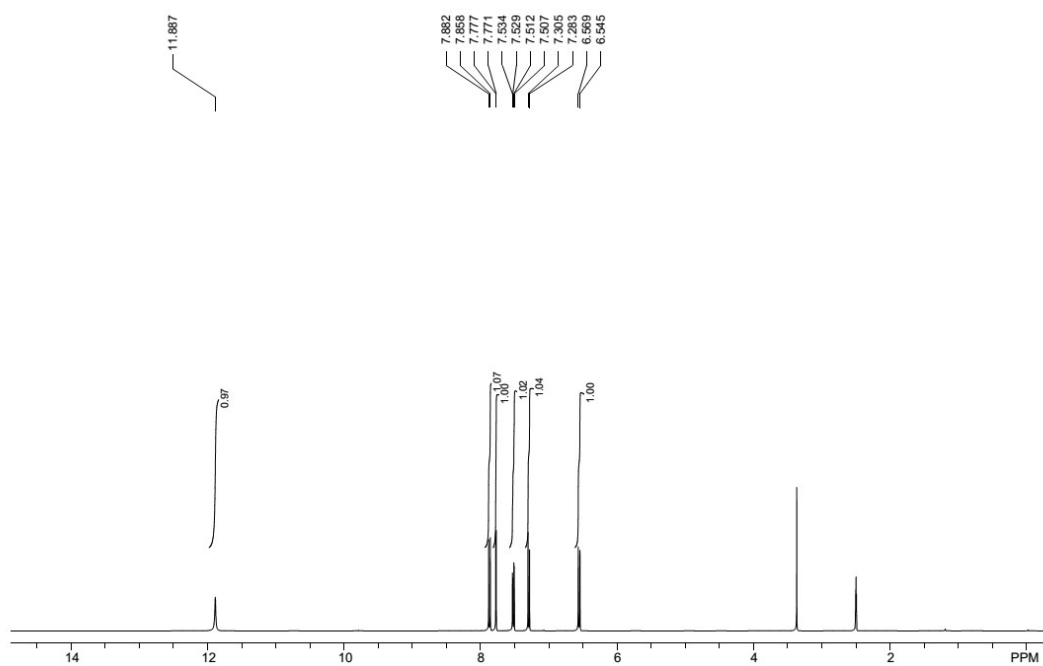
4-methylquinolin-2(1H)-one (5ac)



6-fluoroquinolin-2(1H)-one (5ad)



6-chloroquinolin-2(1H)-one (5ae)



6-bromoquinolin-2(1H)-one (5af)

