Successive Hydrolysis and Transfer Hydrogenation of 2-Chloroquinolines to 3,4-Dihydroquinolones

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Supporting Information

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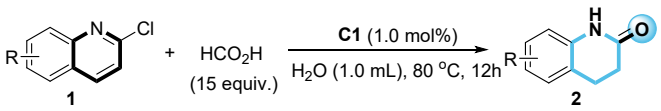
A. General Methods

All the reactions were conducted in oven dried Schlenk tubes. All available reagents and anhydrous solvents were purchased from commercial sources and used as received. Flash chromatography was performed over Silica gel (100–200 mesh) bought from commercial sources. ^{1}H and ^{13}C NMR spectra were collected on a Bruker DRX-400spectrometer (400 MHz for ^{1}H; 100 MHz for ^{13}C) and referenced internally with TMS. High-resolution mass spectra (HRMS) were recorded by a LCMS-IT-TOF mass spectrometer.

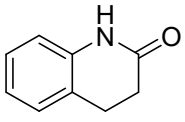
B. General Procedure for the Synthesis of 3,4-Dihydroquinolones



To a 25 mL dried Schlenk tube were added C1 (1 mol%), 1 (0.5 mmol), HCOOH (15.0 mmol, 15.0 equiv.), H_2O (1.0 mL), then the reaction was stirred at 80 ℃ for 12h. After the reaction was completed, the mixture was diluted with EtOAc (5.0 mL) carefully quenched with 5 mL of saturated $NaHCO_3$ solution. The mixture was extracted with EtOAc (10.0 mL×3 times), the organic layers were combined, washed with saturated NaCl and dried with anhydrous $MgSO_4$. After removal of the EtOAc under vacuum, the crude product was purified by column chromatography on silica gel with hexanes or petroleum ether/ethyl acetate to give the desired products.

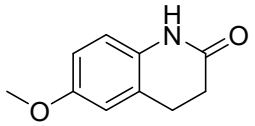
C. Analytical Data

3,4-dihydroquinolin-2(1*H*)-one (2a)[1]



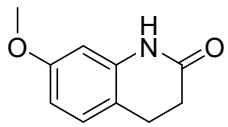
Yield: 70.0 mg (95%), white solid (mp: 163-165 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.01 (s, 1H), 7.11-7.01 (m, 2H), 6.83 (d, J = 7.5 Hz, 1H), 6.79 (d, J = 8.1 Hz, 1H), 2.77 (t, J = 7.5 Hz, 2H), 2.41-2.30 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.7, 138.7, 128.2, 127.5, 124.0, 122.4, 115.5, 30.9, 25.2.

6-methoxy-3,4-dihydroquinolin-2(1*H*)-one (2b)[2]



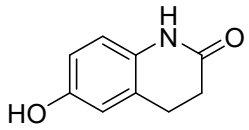
Yield: 85.9 mg (97%), white solid (mp: 140-142 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.97 (s, 1H), 6.80 (d, J = 8.6 Hz, 1H), 6.78 (d, J = 2.7 Hz, 1H), 6.73 (dd, J = 8.5, 2.8 Hz, 1H), 3.70 (s, 3H), 2.84 (t, J = 7.5 Hz, 2H), 2.41 (t, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.3, 154.9, 132.1, 125.3, 116.2, 113.8, 112.7, 55.6, 30.8, 25.6.

7-methoxy-3,4-dihydroquinolin-2(1*H*)-one (2c)[3]



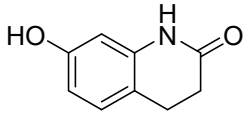
Yield: 70.0 mg (79%), white solid (mp: 147-148 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.0 (s, 1H), 7.1 (d, J = 8.2 Hz, 1H), 6.5 (dd, J = 8.2, 2.6 Hz, 1H), 6.5 (d, J = 2.6 Hz, 1H), 3.7 (s, 3H), 2.8 (t, J = 7.5 Hz, 2H), 2.4 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.8, 159.0, 139.7, 128.9, 116.0, 107.5, 101.6, 55.5, 31.2, 24.5.

6-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2d)[4]



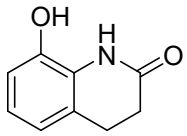
Yield: 75.8 mg (93%), white solid (mp: 236-238 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.85 (s, 1H), 9.07 (s, 1H), 6.68 (d, J = 8.4 Hz, 1H), 6.59 (d, J = 2.7 Hz, 1H), 6.55 (dd, J = 8.4, 2.7 Hz, 1H), 2.83-2.71 (m, 2H), 2.38 (dd, J = 8.5, 6.5 Hz, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.2, 152.8, 130.7, 125.2, 116.3, 115.0, 113.9, 30.9, 25.5.

7-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2e)[5]



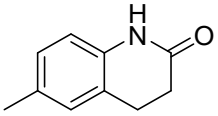
Yield: 70.1 mg (86%), white solid (mp: 235-236 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.95 (s, 1H), 9.29 (s, 1H), 6.91 (d, J = 8.0 Hz, 1H), 6.35 (d, J = 2.4 Hz, 1H), 6.31 (dd, J = 8.0, 2.5 Hz, 1H), 2.72 (t, J = 7.5 Hz, 2H), 2.45-2.32 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.9, 156.9, 139.5, 128.8, 114.2, 109.3, 102.8, 31.4, 24.5.

8-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2f)[4]



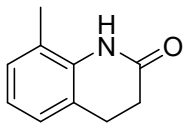
Yield: 65.2 mg (80%), white solid (mp: 178-179 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.70 (s, 1H), 8.83 (s, 1H), 6.75 (t, 1H), 6.70 (dd, J = 8.1, 1.6 Hz, 1H), 6.62 (dd, J = 7.3, 1.5 Hz, 1H), 2.82 (t, 2H), 2.47-2.37 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.2, 144.3, 126.2, 125.3, 122.7, 118.7, 114.0, 31.1, 25.5.

6-methyl-3,4-dihydroquinolin-2(1*H*)-one (2g)[3]



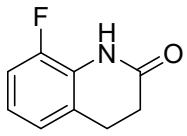
Yield: 67.7 mg (84%), white solid (mp: 129-131 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.02 (s, 1H), 6.95 (s, 1H), 6.93 (d, J = 7.7 Hz, 1H), 6.76 (d, J = 7.9 Hz, 1H), 2.80 (t, 2H), 2.45-2.36 (m, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.6, 136.3, 131.2, 128.7, 127.8, 123.8, 115.3, 30.9, 25.3, 20.8.

8-methyl-3,4-dihydroquinolin-2(1*H*)-one (2h)[3]



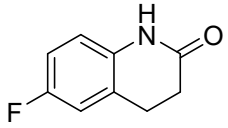
Yield: 71.6 mg (89%), white solid (mp: 129-131 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.46 (s, 1H), 7.00 (t, 2H), 6.84 (t, J = 7.5 Hz, 1H), 2.84 (t, 2H), 2.46-2.40 (m, 2H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d6) δ 171.4, 136.8, 129.2, 125.8, 124.8, 124.0, 122.4, 31.2, 25.7, 17.6.

8-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2i)[6]



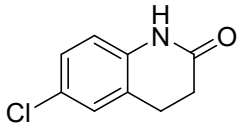
Yield: 61.9 mg (75%), white solid (mp: 142-143 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.10 (s, 1H), 7.06 (ddd, J = 10.7, 8.1, 1.4 Hz, 1H), 7.02 (d, J = 6.7 Hz, 1H), 6.96-6.89 (m, 1H), 2.92 (t, 2H), 2.51-2.45 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.4, 149.9 (d, J = 242 Hz), 127.3 (d, J = 2 Hz), 126.6 (d, J = 13 Hz), 123.8 (d, J = 3 Hz), 122.7 (d, J = 7 Hz), 114.1 (d, J = 18 Hz), 30.8, 25.3 (d, J = 8 Hz). ^{19}F NMR (377 MHz, DMSO-d6) δ -131.5.

6-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2j)[7]



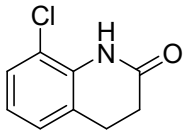
Yield: 58.6 mg (71%), white solid (mp: 178-179 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.13 (s, 1H), 7.02 (dd, J = 9.1, 2.9 Hz, 1H), 6.95 (td, J = 8.7, 2.9 Hz, 1H), 6.86 (dd, J = 8.7, 5.1 Hz, 1H), 2.85 (t, J = 7.6 Hz, 2H), 2.41 (dd, J = 8.3, 6.8 Hz, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.6, 157.8 (d, J = 235 Hz), 135.1 (d, J = 2 Hz), 126.2 (d, J = 8 Hz), 116.5 (d, J = 2 Hz), 114.9 (d, J = 23 Hz), 113.9 (d, J = 23 Hz), 30.3, 25.2. ^{19}F NMR (377 MHz, DMSO-d_6) δ -121.8.

6-chloro-3,4-dihydroquinolin-2(1*H*)-one (2k)[8]



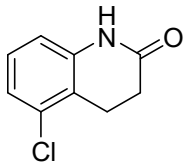
Yield: 73.3 mg (81%), white solid (mp: 162-164 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.23 (s, 1H), 7.24 (d, J = 2.4 Hz, 1H), 7.19 (dd, J = 8.4, 2.5 Hz, 1H), 6.87 (d, J = 8.4 Hz, 1H), 2.88 (t, J = 7.6 Hz, 2H), 2.49-2.42 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.6, 137.7, 127.9, 127.3, 126.2, 126.0, 116.9, 30.4, 25.0.

8-chloro-3,4-dihydroquinolin-2(1*H*)-one (2l)[9]



Yield: 57.0 mg (63%), white solid (mp: 105-107 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.52 (s, 1H), 7.28 (dd, J = 8.1, 1.4 Hz, 1H), 7.18 (d, J = 7.5 Hz, 1H), 7.01-6.90 (m, 1H), 2.98 -2.87 (m, 2H), 2.54-2.46 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.8, 135.3, 128.0, 127.2, 127.1, 123.5, 119.5, 30.8, 25.7.

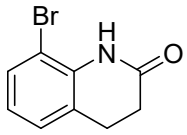
5-chloro-3,4-dihydroquinolin-2(1*H*)-one (2m)[10]



Yield: 70.0 mg (77%), white solid (mp: 108-110 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.31 (s, 1H), 7.15 (t, J = 8.0 Hz, 1H), 7.01 (d, J = 7.0 Hz, 1H), 6.87 (d, J = 7.9 Hz,

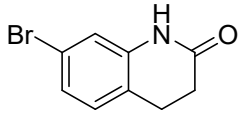
1H), 2.95 (t, *J* = 7.7 Hz, 2H), 2.51-2.44 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.3, 140.4, 132.6, 128.7, 122.9, 121.7, 114.5, 30.0, 22.8.

8-bromo-3,4-dihydroquinolin-2(1*H*)-one (2n)[7]



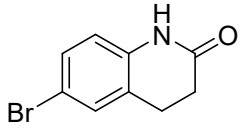
Yield: 50.6 mg (45%), white solid (mp: 77-79 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.22 (d, *J* = 7.0 Hz, 1H), 6.90 (t, *J* = 7.8 Hz, 1H), 2.98-2.89 (m, 2H), 2.55-2.50 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.9, 136.4, 131.2, 127.7, 127.6, 124.1, 109.5, 30.9, 25.9.

7-bromo-3,4-dihydroquinolin-2(1*H*)-one (2o)[3]



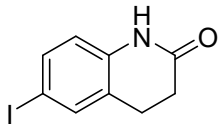
Yield: 86.6 mg (77%), white solid (mp: 184-186 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.22 (s, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 7.07 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.04 (d, *J* = 2.0 Hz, 1H), 2.89-2.80 (m, 2H), 2.49-2.42 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.6, 140.5, 130.1, 124.8, 123.4, 119.8, 117.7, 30.5, 24.7.

6-bromo-3,4-dihydroquinolin-2(1*H*)-one (2p)[8]



Yield: 72.0 mg (64%), white solid (mp: 156-158 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.19 (s, 1H), 7.35 (s, 1H), 7.29 (dd, *J* = 8.4, 2.3 Hz, 1H), 6.80 (d, *J* = 8.4 Hz, 1H), 2.86 (t, *J* = 7.5 Hz, 2H), 2.48-2.36 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.5, 138.2, 130.7, 130.1, 126.7, 117.3, 113.8, 30.4, 24.9.

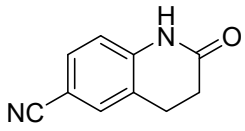
6-iodo-3,4-dihydroquinolin-2(1*H*)-one (2q)[11]



Yield: 59.0 mg (43%), white solid (mp: 172-173 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.21 (s, 1H), 7.50 (s, 1H), 7.44 (dd, *J* = 8.3, 2.1 Hz, 1H), 6.70 (d, *J* = 8.5 Hz, 1H),

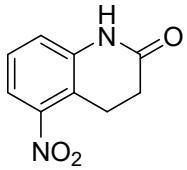
2.84 (t, *J* = 7.5 Hz, 2H), 2.47-2.33 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.5, 138.7, 136.4, 136.0, 127.0, 117.8, 85.4, 30.5, 24.8.

2-oxo-1,2,3,4-tetrahydroquinoline-6-carbonitrile (2r)[12]



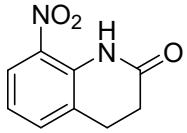
Yield: 26.7 mg (31%), white solid (mp: >280 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.54 (s, 1H), 7.66 (s, 1H), 7.61 (dd, *J* = 8.2, 1.9 Hz, 1H), 6.97 (d, *J* = 8.2 Hz, 1H), 2.93 (t, *J* = 7.6 Hz, 2H), 2.52-2.46 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.8, 143.1, 132.2, 132.0, 125.2, 119.7, 116.0, 104.1, 30.2, 24.6.

5-nitro-3,4-dihydroquinolin-2(1*H*)-one (2s)[13]



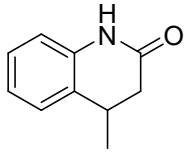
Yield: 63.4 mg (66%), light yellow solid (mp: 210-212 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.52 (s, 1H), 7.55 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.40 (t, *J* = 8.1 Hz, 1H), 7.19 (d, *J* = 8.0 Hz, 1H), 3.10 (t, *J* = 7.6 Hz, 2H), 2.51-2.44 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.3, 149.2, 140.8, 128.5, 120.2, 119.1, 118.0, 29.6, 22.0.

8-nitro-3,4-dihydroquinolin-2(1*H*)-one (2t)[14]



Yield: 49.0 mg (51%), yellow solid (mp: 148-150 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.84 (s, 1H), 7.99 (dd, *J* = 8.5, 1.4 Hz, 1H), 7.65 (d, *J* = 7.5 Hz, 1H), 7.15 (dd, *J* = 8.5, 7.3 Hz, 1H), 3.05 (t, 2H), 2.64-2.57 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.6, 134.8, 134.7, 134.1, 127.9, 124.1, 122.4, 29.8, 25.3.

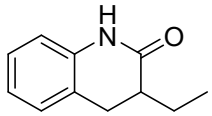
4-methyl-3,4-dihydroquinolin-2(1*H*)-one (2u)[15]



Yield: 32.2 mg (40%), white solid (mp: 94-96 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.10 (s, 1H), 7.18 (d, *J* = 7.5 Hz, 1H), 7.13 (t, *J* = 7.6 Hz, 1H), 6.93 (t, *J* = 7.5 Hz, 1H),

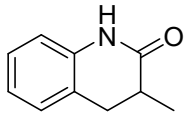
6.86 (d, *J* = 7.9 Hz, 1H), 3.08-2.97 (m, 1H), 2.56 (dd, *J* = 16.0, 5.8 Hz, 1H), 2.22 (dd, *J* = 16.0, 6.9 Hz, 1H), 1.16 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d6) *δ* 170.3, 137.7, 128.9, 127.6, 126.9, 122.8, 115.7, 38.4, 30.5, 20.1.

3-ethyl-3,4-dihydroquinolin-2(1*H*)-one (2v)[16]



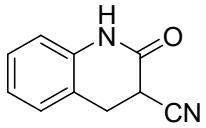
Yield: 71.0 mg (81%), light yellow oil. ^{1}H NMR (400 MHz, Chloroform-d) *δ* 9.41 (s, 1H), 7.15 (t, *J* = 7.9 Hz, 2H), 6.97 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 7.7 Hz, 1H), 3.04 (dd, *J* = 15.8, 5.9 Hz, 1H), 2.76 (dd, *J* = 15.8, 8.5 Hz, 1H), 2.54-2.44 (m, 1H), 1.95-1.83 (m, 1H), 1.57-1.45 (m, 1H), 1.03 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-d) *δ* 174.8, 137.1, 128.2, 127.4, 123.2, 122.9, 115.3, 41.5, 30.1, 22.7, 11.6.

3-methyl-3,4-dihydroquinolin-2(1*H*)-one (2w)[3]



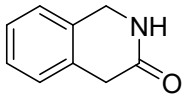
Yield: 63.0 mg (78%), white solid (mp: 131-132 ^{o}C). ^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.08 (s, 1H), 7.22-7.07 (m, 2H), 6.97-6.79 (m, 2H), 2.92 (dd, *J* = 15.5, 5.9 Hz, 1H), 2.63 (dd, *J* = 15.5, 11.6 Hz, 1H), 2.55-2.50 (m, 1H), 1.12 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d6) *δ* 173.3, 138.6, 128.2, 127.5, 123.9, 122.3, 115.2, 34.6, 33.2, 15.7.

2-oxo-1,2,3,4-tetrahydroquinoline-3-carbonitrile (2x)[17]



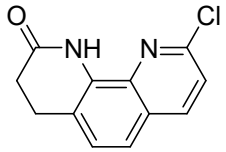
Yield: 52.5 mg (61%), white solid (mp: 227-228 ^{o}C). ^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.70 (s, 1H), 7.22 (dd, *J* = 13.0, 7.1 Hz, 2H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 7.9 Hz, 1H), 4.40 (dd, *J* = 11.6, 7.2 Hz, 1H), 3.36-3.22 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) *δ* 163.4, 137.5, 128.4, 123.2, 121.4, 118.3, 116.0, 34.0, 29.1.

1,4-dihydroisoquinolin-3(2*H*)-one (2y)[18]



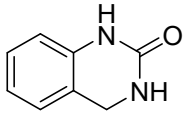
Yield: 58.8 mg (80%), light yellow solid (mp: 150-152 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 8.16 (s, 1H), 7.41-7.37 (m, 1H), 7.37-7.28 (m, 3H), 4.46 (s, 2H), 3.56 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 171.1, 133.3, 133.1, 127.7, 127.4, 126.7, 125.8, 44.6, 37.3.

9-chloro-3,4-dihydro-1,10-phenanthrolin-2(1*H*)-one (2z)



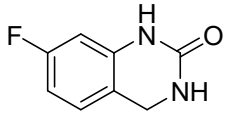
Yield: 104.4 mg (90%), white solid (mp:168-169 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.34 (s, 1H), 8.41 (d, J = 8.5 Hz, 1H), 7.60 (dd, J = 13.0, 8.4 Hz, 2H), 7.52 (d, J = 8.3 Hz, 1H), 3.12 (t, J = 7.7 Hz, 2H), 2.68-2.60 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.0, 149.4, 140.5, 135.9, 132.9, 128.0, 126.1, 124.0, 122.8, 121.1, 30.6, 25.4. HRMS-ESI (m/z): $[M+H]^+$ Calcd for $C_{12}H_{10}ClN_2O$ 233.0476; Found 233.0465.

3,4-dihydroquinazolin-2(1*H*)-one (2ab)[19]



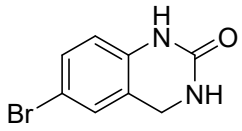
Yield: 65.2 mg (88%), white solid (mp: 182-184 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.12 (t, J = 8.4 Hz, 1H), 7.08 (d, J = 7.4 Hz, 1H), 6.91 (s, 1H), 6.86 (t, J = 7.5 Hz, 1H), 6.80 (d, J = 8.2 Hz, 1H), 4.34 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 155.2, 138.5, 128.1, 126.2, 121.5, 118.6, 114.0, 43.0.

7-fluoro-3,4-dihydroquinazolin-2(1*H*)-one (2ac)



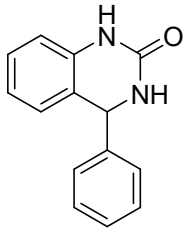
Yield: 36.0 mg (43%), white solid (mp: 274-275 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.19 (s, 1H), 7.15-7.07 (m, 1H), 6.96 (s, 1H), 6.68 (td, J = 8.7, 2.6 Hz, 1H), 6.58 (dd, J = 10.3, 2.6 Hz, 1H), 4.31 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 163.2.1 (d, J = 239 Hz), 154.7, 140.2 (d, J = 11 Hz), 127.8 (d, J = 10 Hz), 114.7 (d, J = 3 Hz), 107.8 (d, J = 22 Hz), 101.8 (d, J = 26 Hz), 42.4. ^{19}F NMR (377 MHz, DMSO-d_6) δ -115.0. HRMS-ESI (m/z): $[M+H]^+$ Calcd for $C_8H_8FN_2O$ 167.0615; Found 167.0605.

6-bromo-3,4-dihydroquinazolin-2(1*H*)-one (2ad)[20]



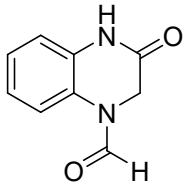
Yield: 96.1 mg (85%), white solid (mp: 247-248 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.20 (s, 1H), 7.35-7.25 (m, 2H), 6.94 (s, 1H), 6.74 (d, J = 8.3 Hz, 1H), 4.33 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 154.8, 138.0, 130.7, 128.8, 121.2, 115.9, 112.7, 42.5.

4-phenyl-3,4-dihydroquinazolin-2(1*H*)-one (2ae)[21]



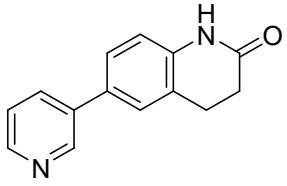
Yield: 67.2 mg (60%), white solid (mp: 194-195 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.30 (s, 1H), 7.48 (s, 1H), 7.32 (d, J = 5.7 Hz, 4H), 7.27-7.20 (m, 1H), 7.15-7.08 (m, 1H), 7.05 (d, J = 7.5 Hz, 1H), 6.83 (t, J = 7.9 Hz, 2H), 5.54 (d, J = 2.7 Hz, 1H). ^{13}C NMR (100 MHz, DMSO-d6) δ 154.3, 145.5, 137.4, 129.0, 128.3, 127.8, 127.2, 126.7, 122.1, 121.6, 114.4, 57.2.

3-oxo-3,4-dihydroquinoxaline-1(2*H*)-carbaldehyde (2af)[22]



Yield: 80.1 mg (91%), yellow solid (mp: 213-215 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.79 (s, 1H), 8.70 (s, 1H), 7.46 (d, J = 7.9 Hz, 1H), 7.19 (td, J = 7.7, 1.3 Hz, 1H), 7.06 (dd, J = 7.7, 1.5 Hz, 1H), 7.02 (dd, J = 7.9, 1.4 Hz, 1H), 4.32 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 165.8, 161.6, 130.8, 126.4, 125.8, 123.4, 118.7, 116.7, 43.2.

6-(pyridin-3-yl)-3,4-dihydroquinolin-2(1*H*)-one (3p)[3]



Yield: 86.0 mg (77 %), white solid (mp:185-187 °C). ^{1}H NMR (400 MHz, DMSO-d6) δ 10.25 (s, 1H), 8.86 (d, J = 2.5 Hz, 1H), 8.52 (dd, J = 4.8, 1.6 Hz, 1H), 8.07-7.98 (m, 1H), 7.58 (d, J = 2.1 Hz, 1H), 7.53 (dd, J = 8.2, 2.2 Hz, 1H), 7.45 (dd, J = 8.0, 4.8 Hz, 1H), 6.98 (d, J = 8.1 Hz, 1H), 2.96 (t, J = 7.6 Hz, 2H), 2.49 (d, J = 6.9 Hz, 2H). ^{13}C NMR (100 MHz, DMSO-d6) δ 170.8, 148.3, 147.6, 138.8, 135.7, 134.0, 131.1, 126.7, 126.1, 124.8, 124.3, 116.1, 30.8, 25.3.

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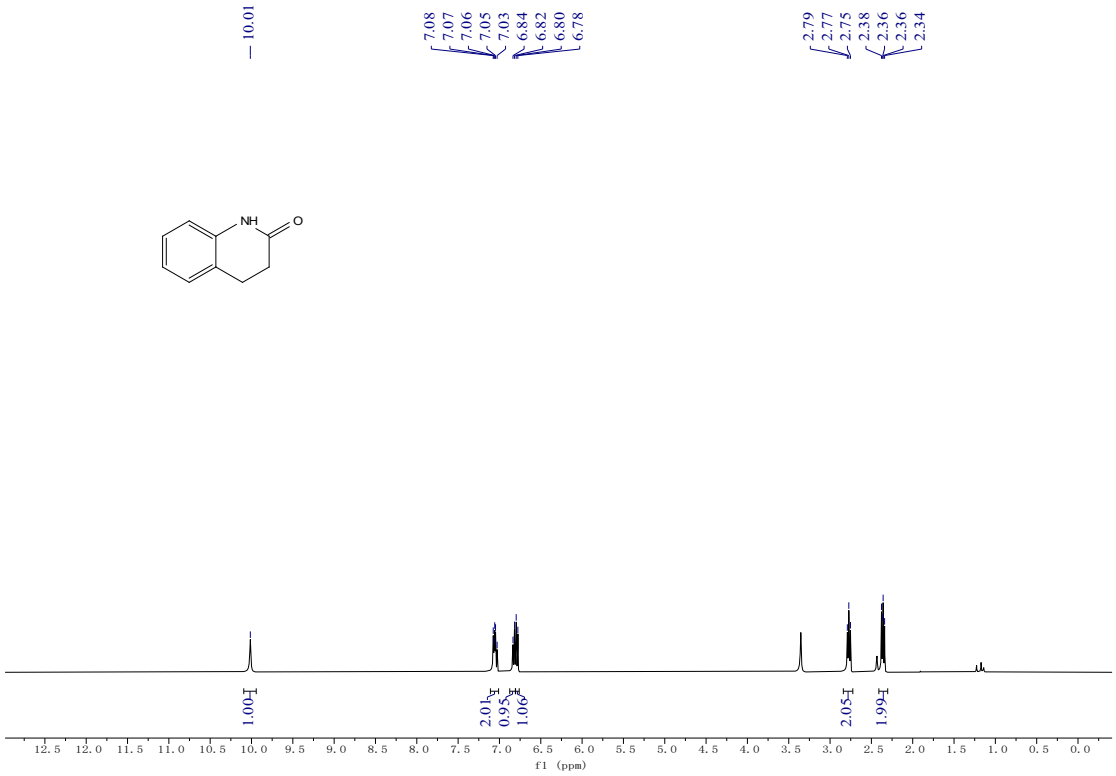
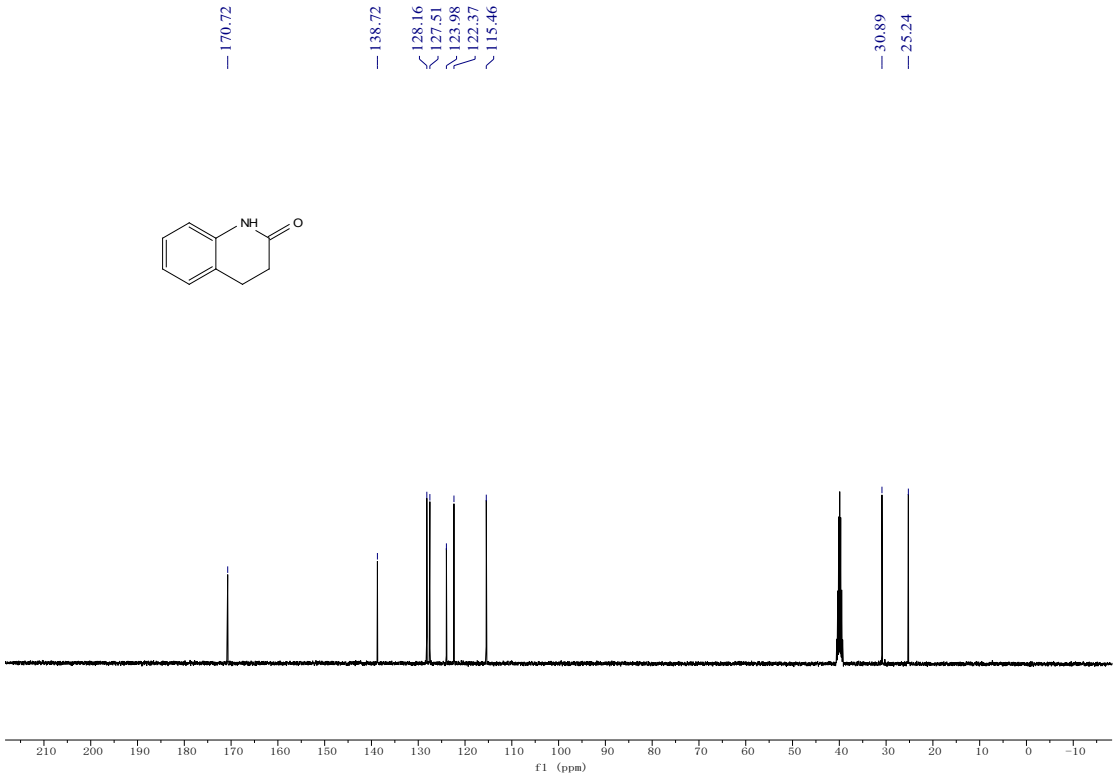
E. NMR Spectra

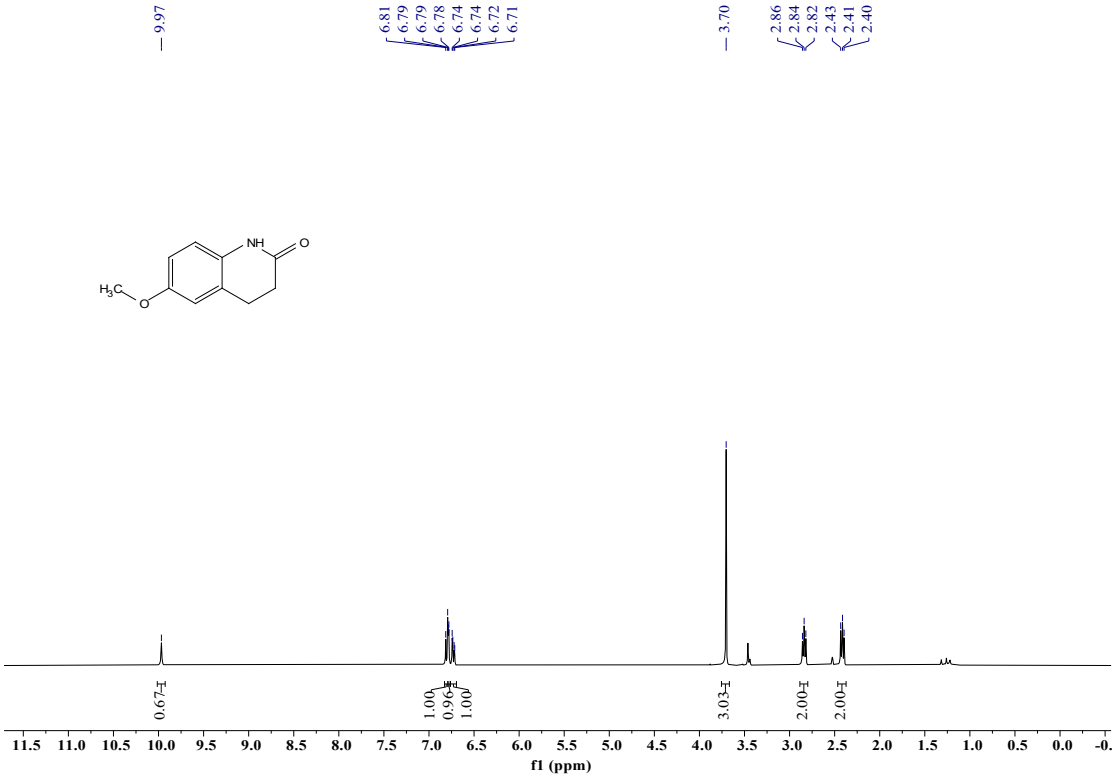
^{1}H NMR spectrum of 3,4-dihydroquinolin-2(1*H*)-one (2a)



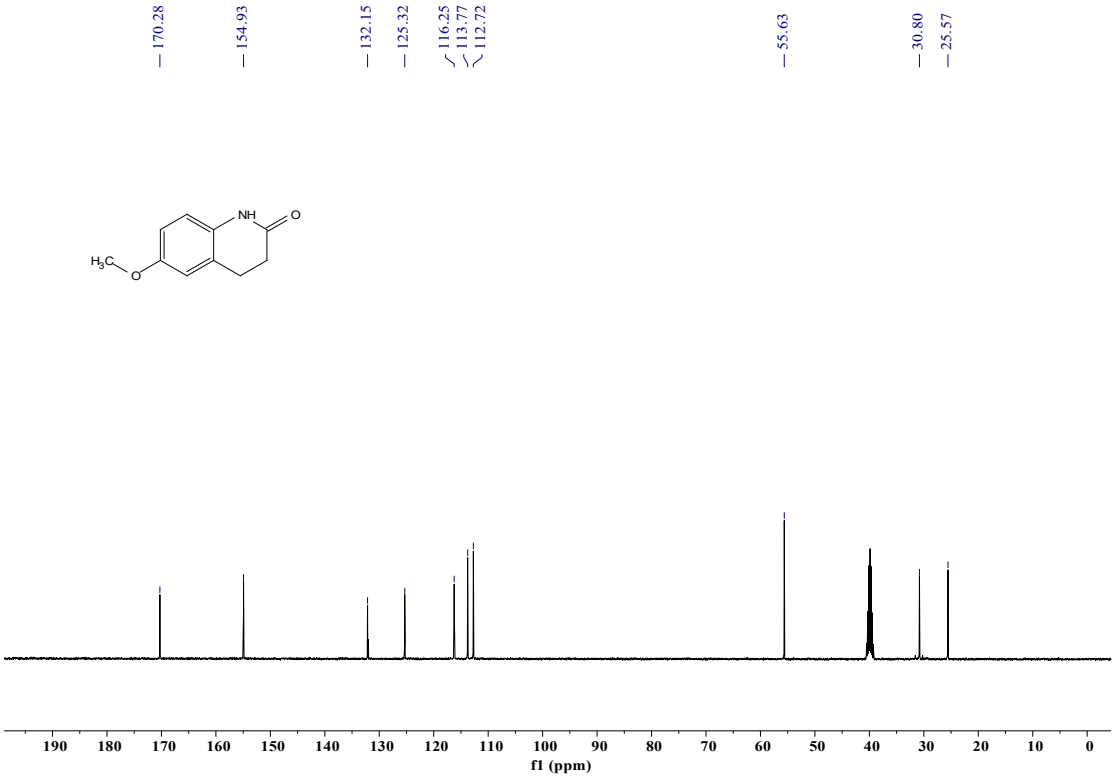
^{13}C NMR spectrum of 3,4-dihydroquinolin-2(1*H*)-one (2a)



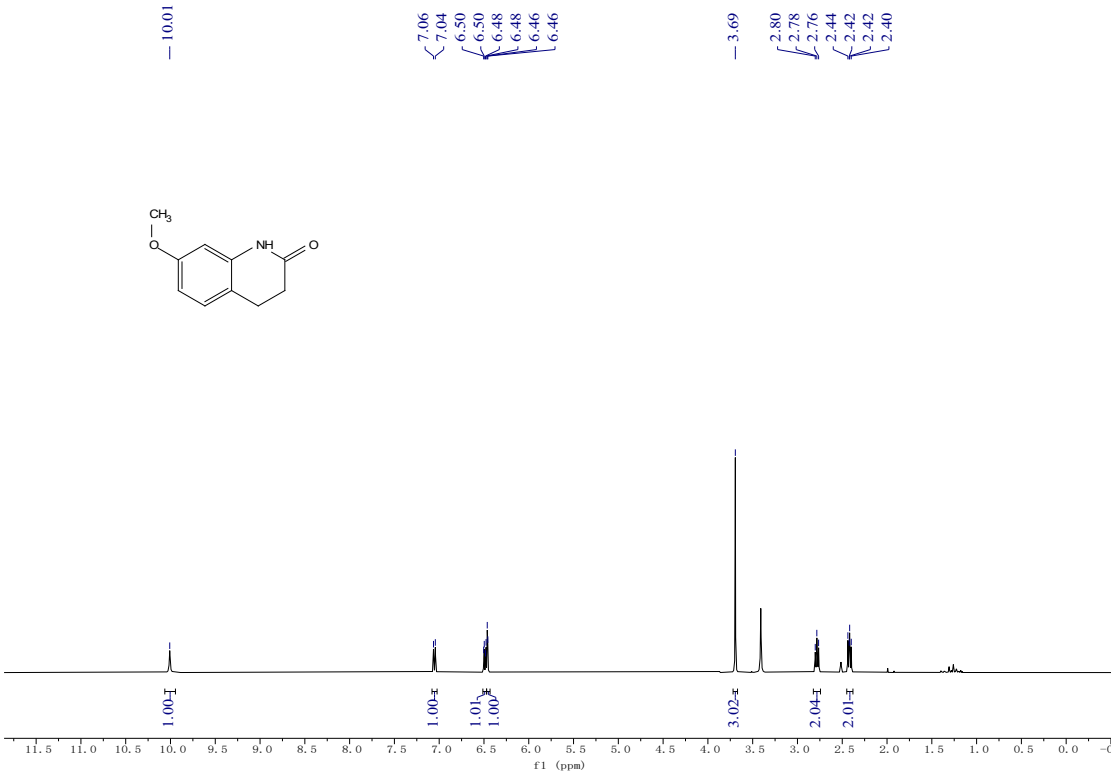
^{1}H NMR spectrum of 6-methoxy-3,4-dihydroquinolin-2(1*H*)-one (2b)



^{13}C NMR spectrum of 6-methoxy-3,4-dihydroquinolin-2(1*H*)-one (2b)



^{1}H NMR spectrum of 7-methoxy-3,4-dihydroquinolin-2(1*H*)-one (2c)



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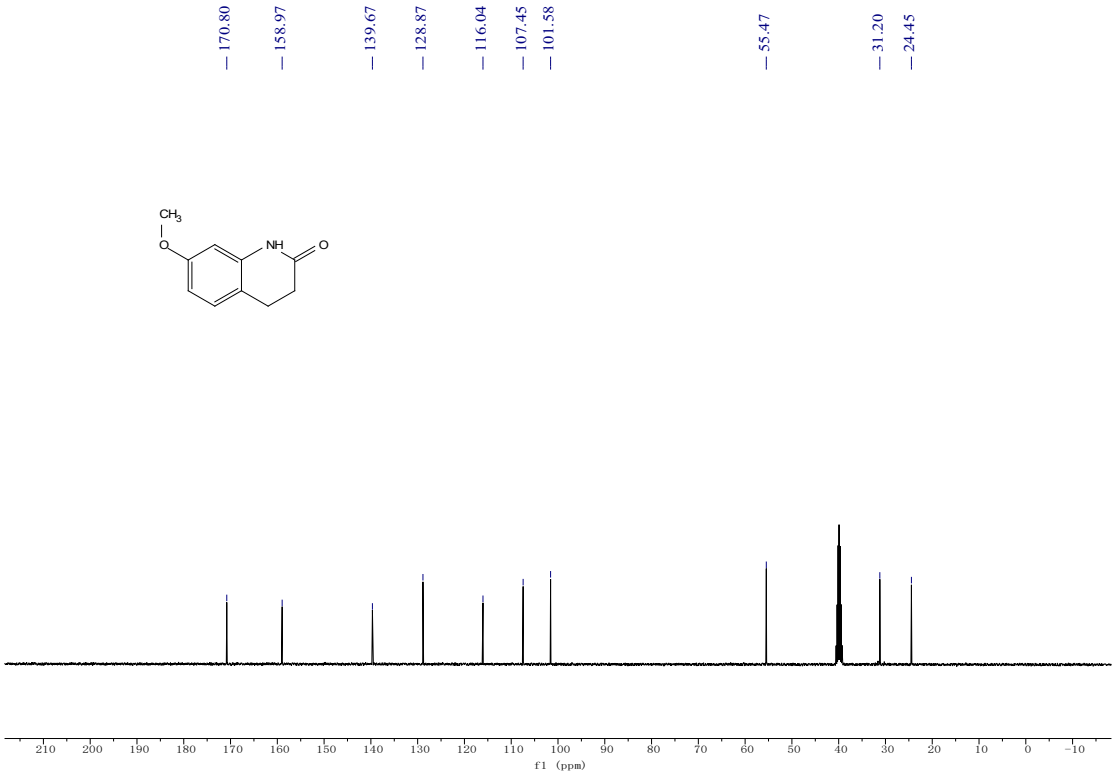
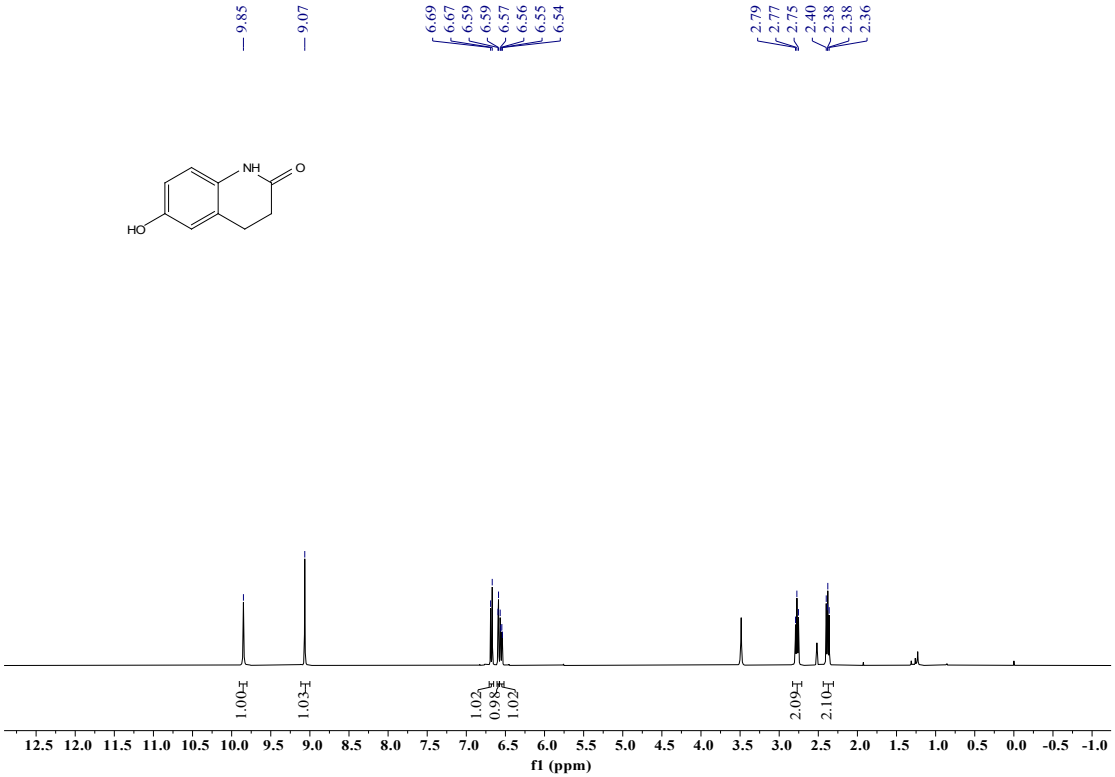
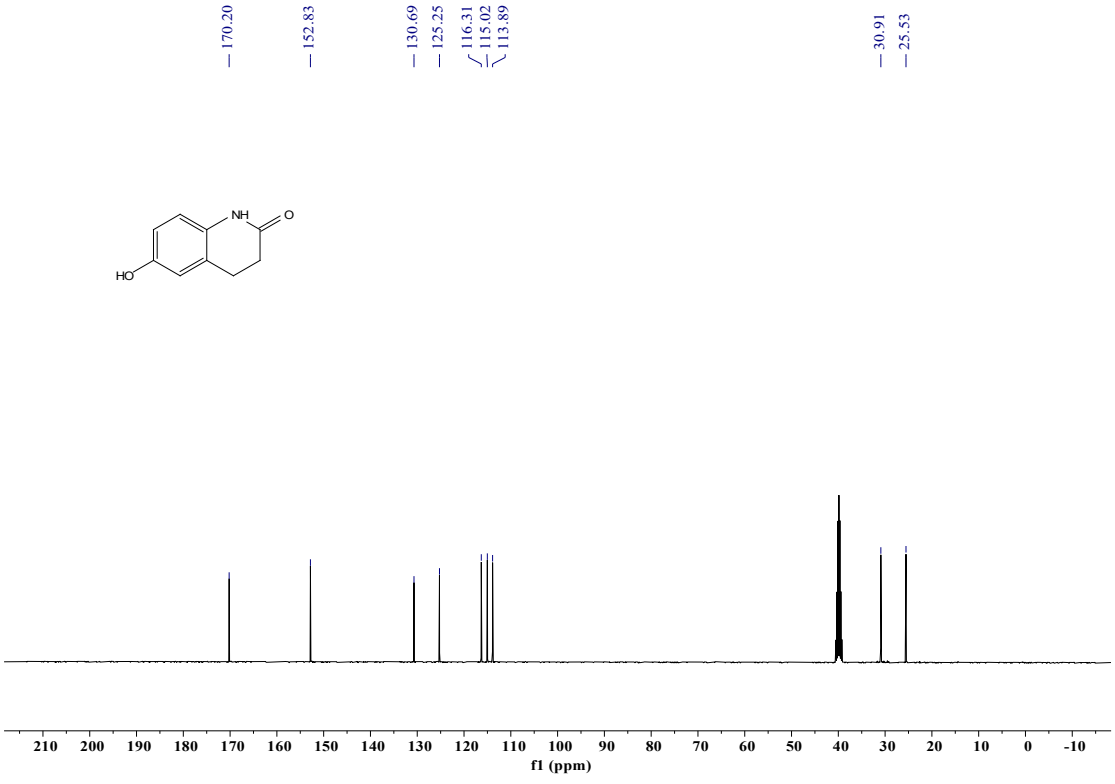


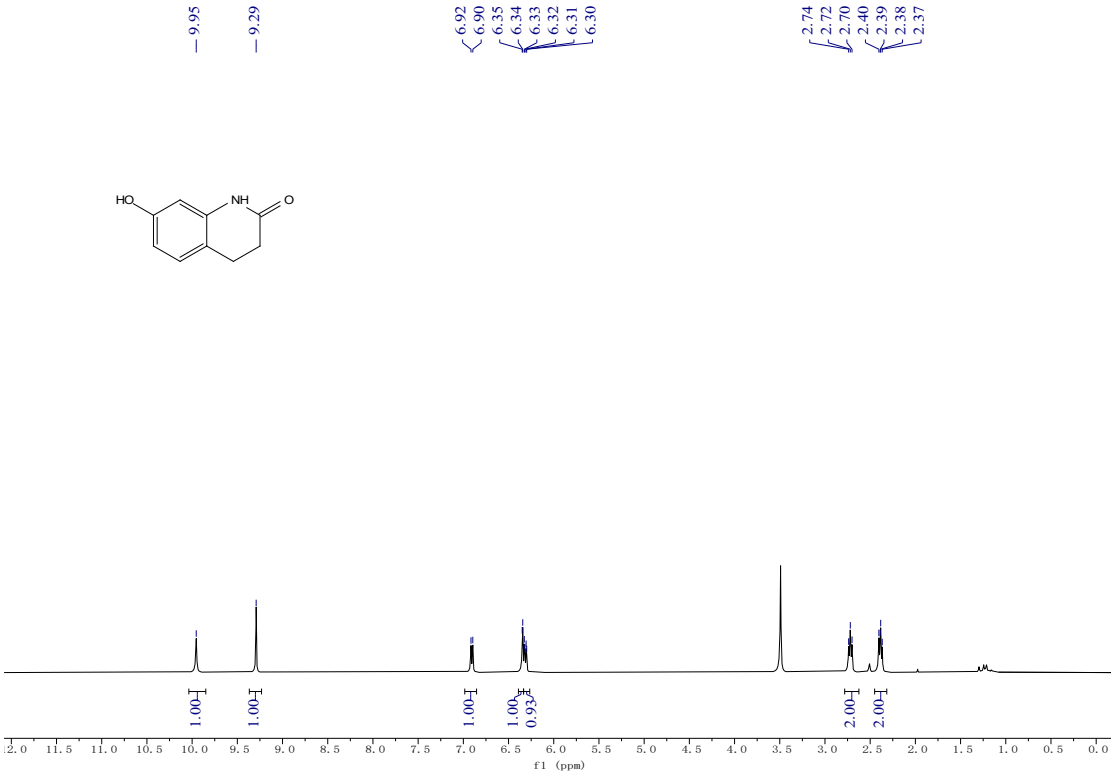
^{1}H NMR spectrum of 6-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2d)



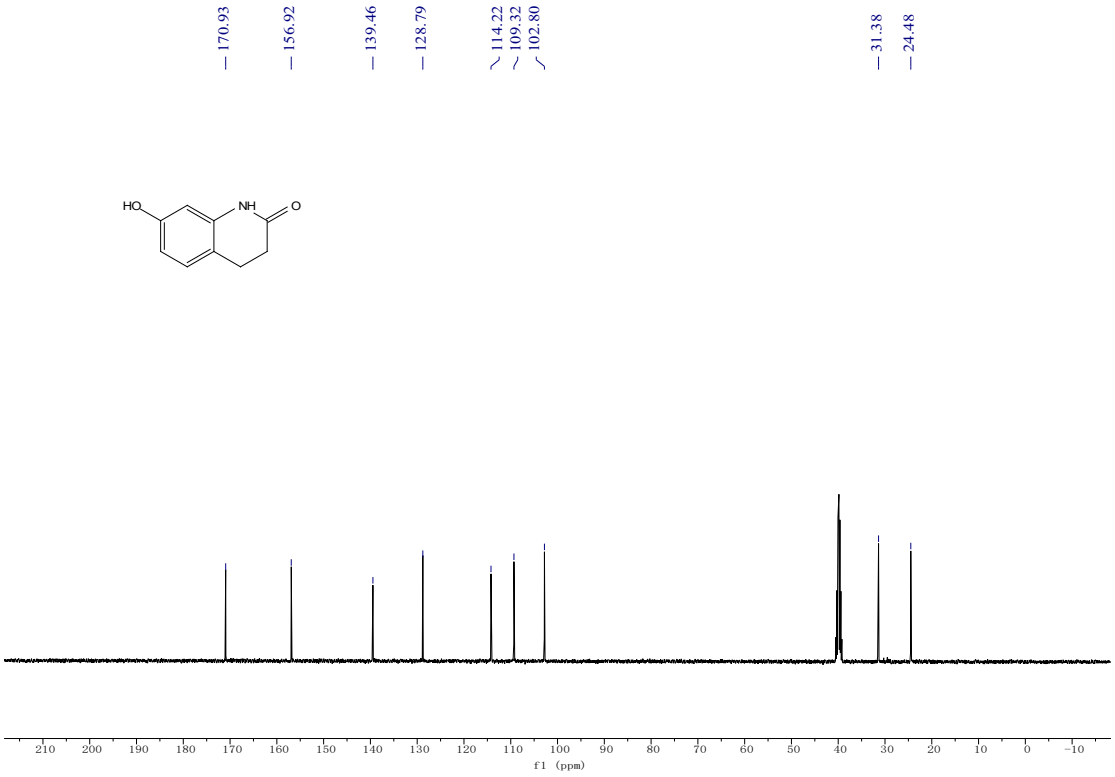
^{13}C NMR spectrum of 6-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2d)



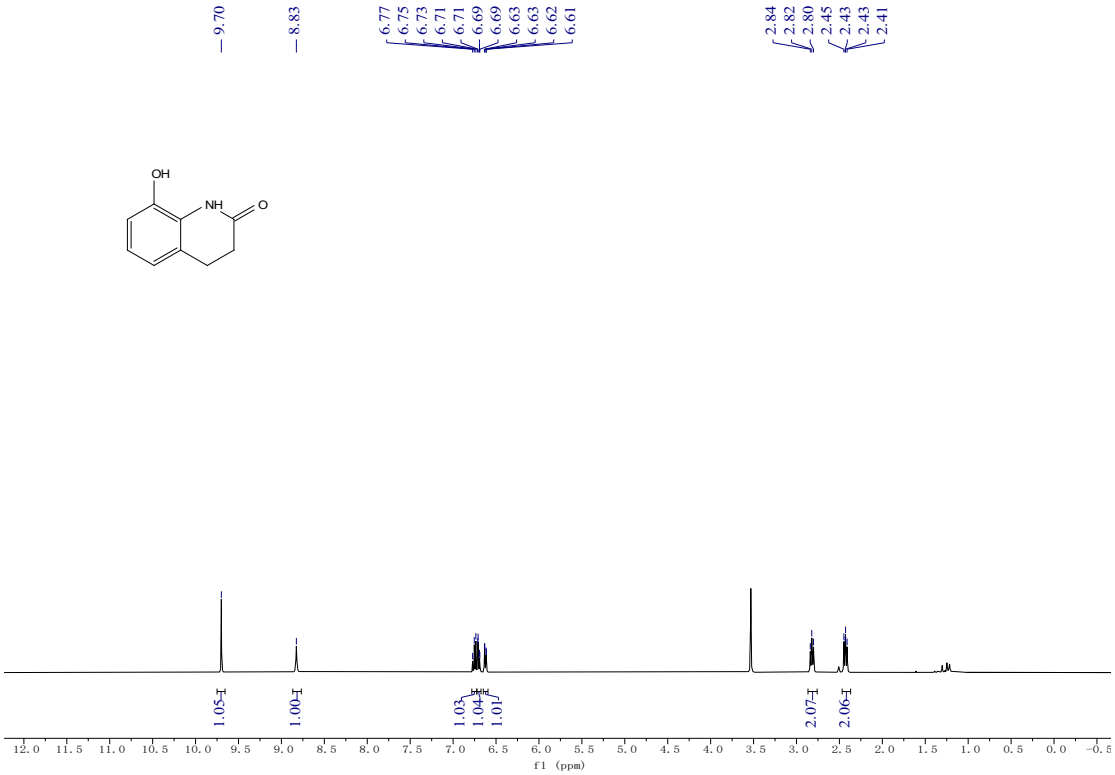
^{1}H NMR spectrum of 7-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2e)



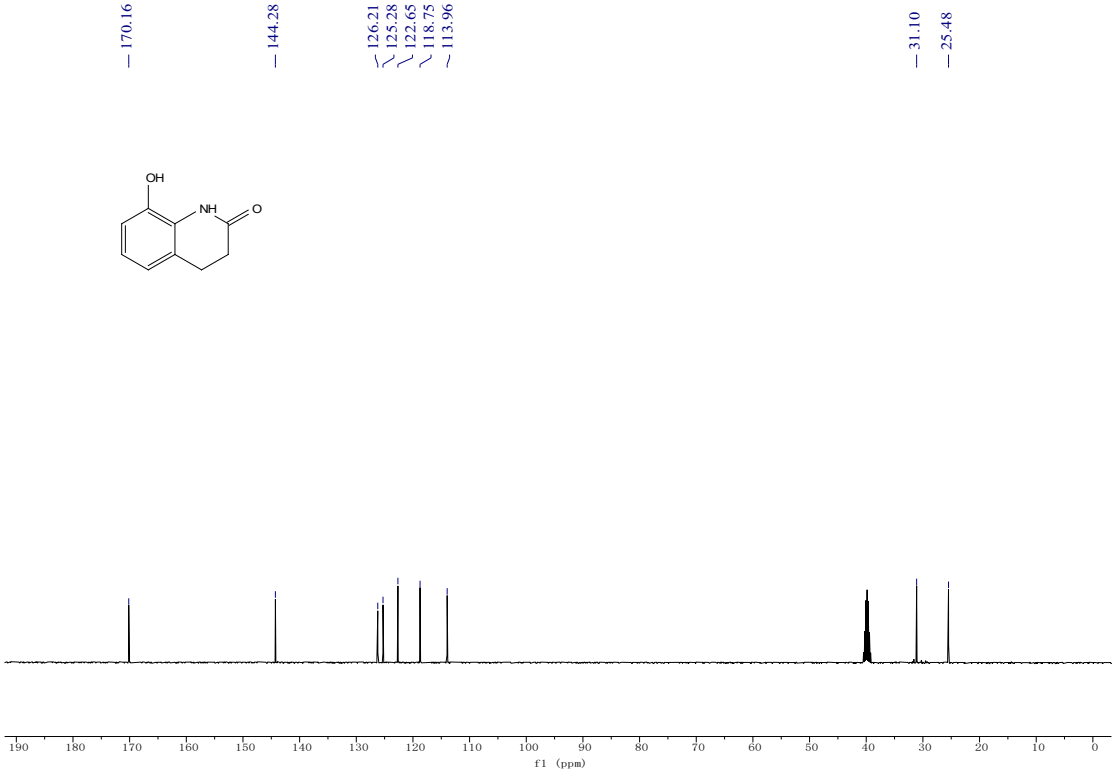
^{13}C NMR spectrum of 7-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2e)



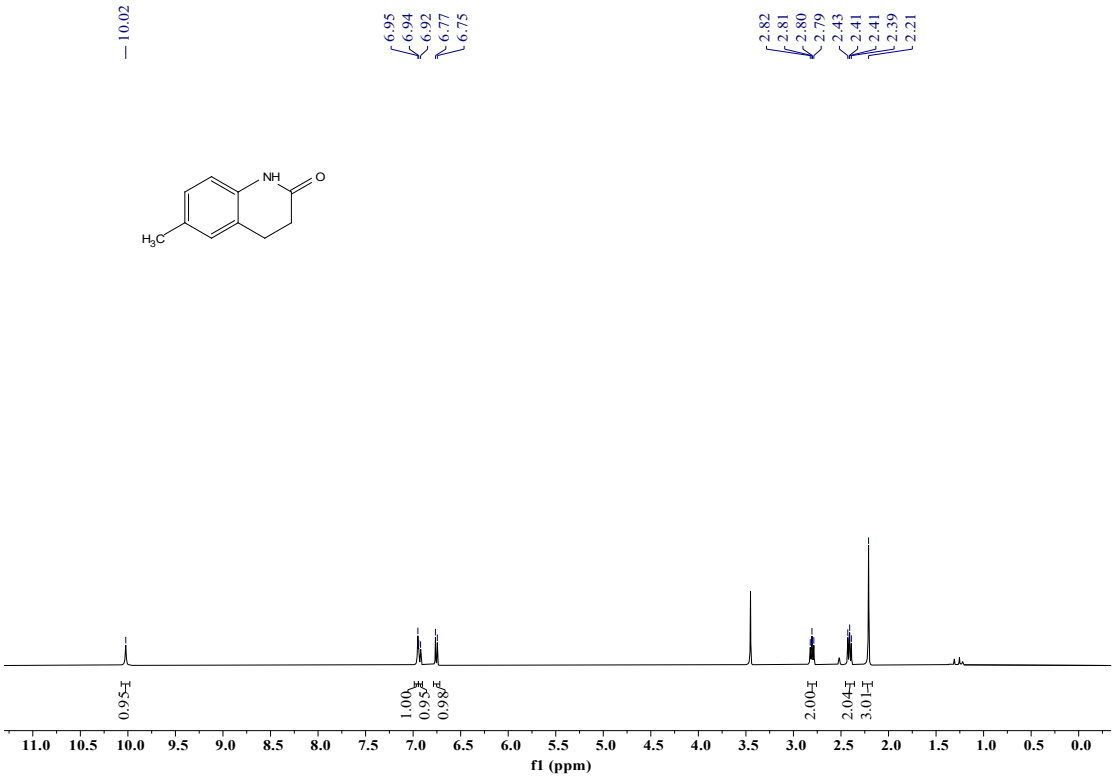
^{1}H NMR spectrum of 8-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2f)



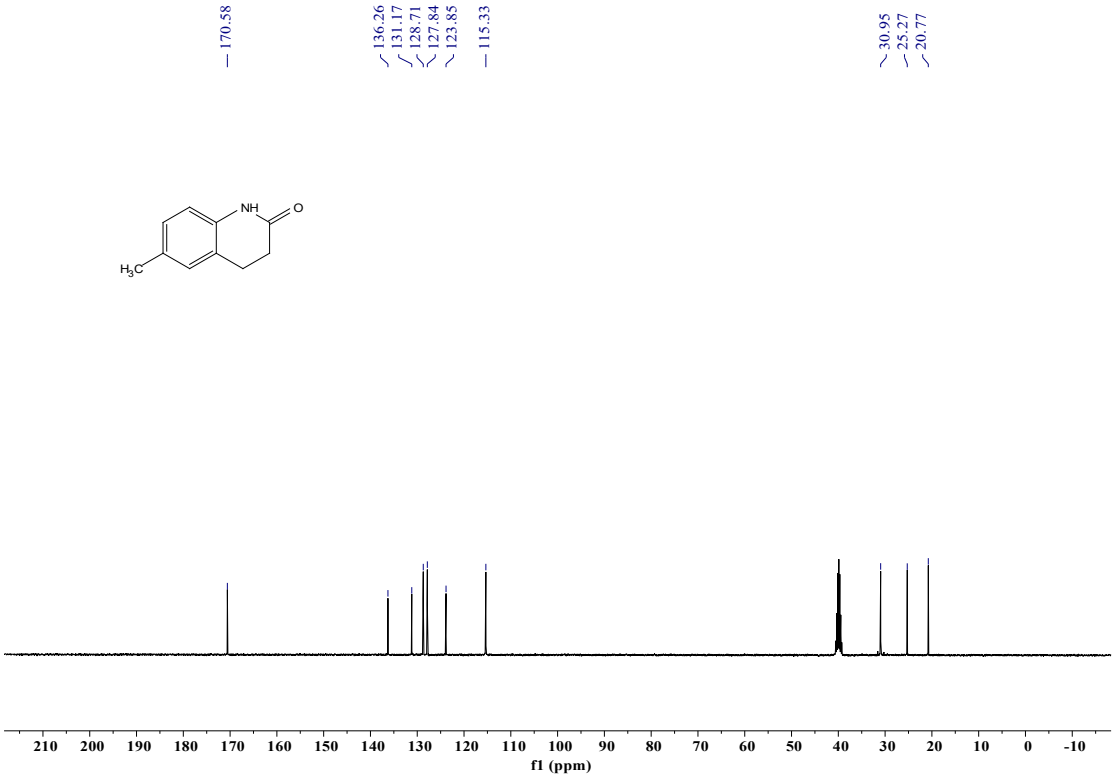
^{13}C NMR spectrum of 8-hydroxy-3,4-dihydroquinolin-2(1*H*)-one (2f)



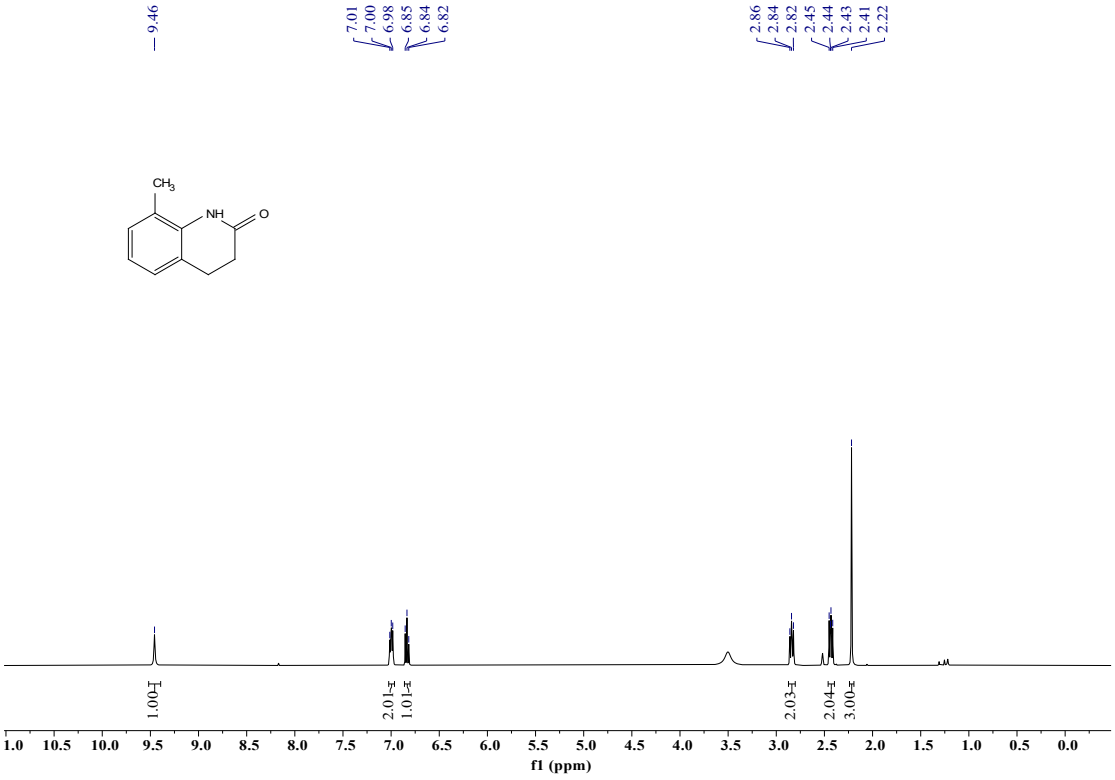
^{1}H NMR spectrum of 6-methyl-3,4-dihydroquinolin-2(1*H*)-one (2g)



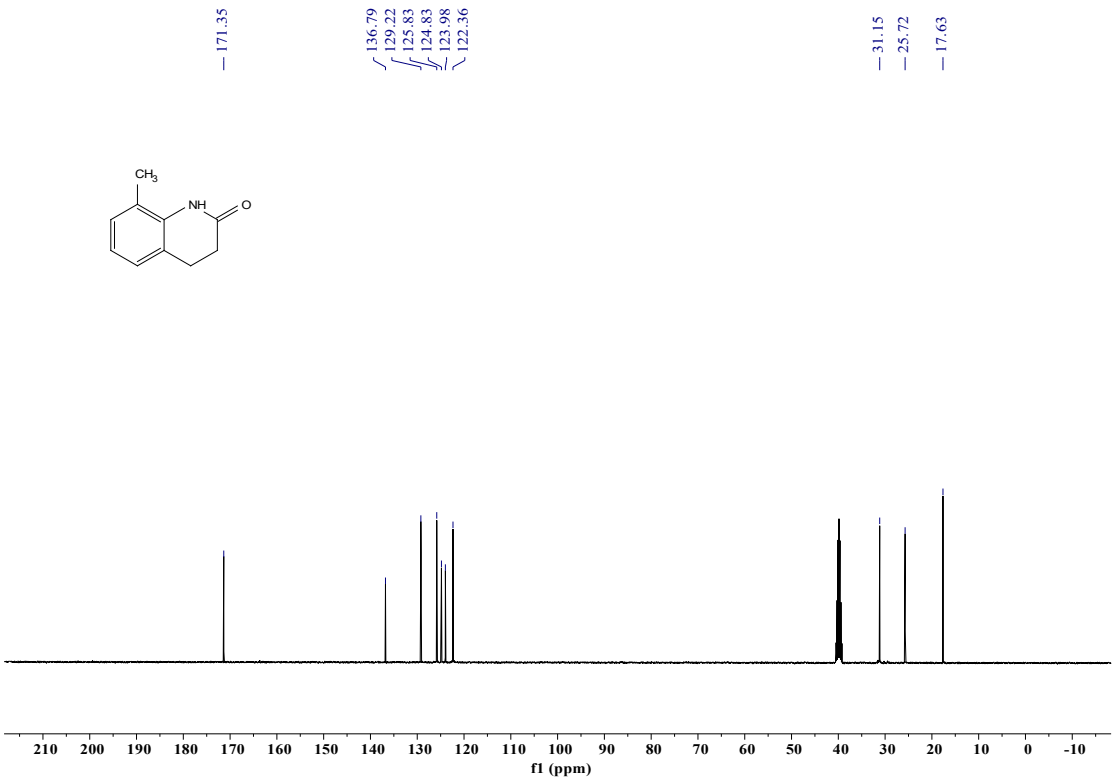
^{13}C NMR spectrum of 6-methyl-3,4-dihydroquinolin-2(1*H*)-one (2g)



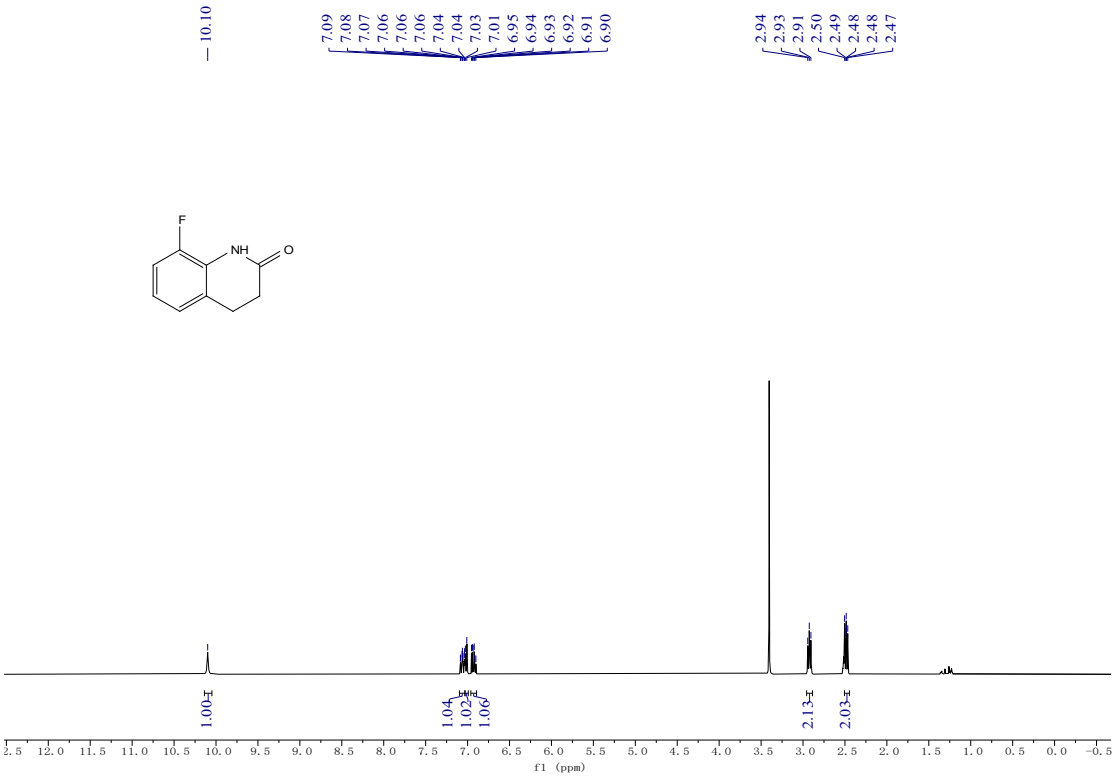
^{1}H NMR spectrum of 8-methyl-3,4-dihydroquinolin-2(1*H*)-one (2h)



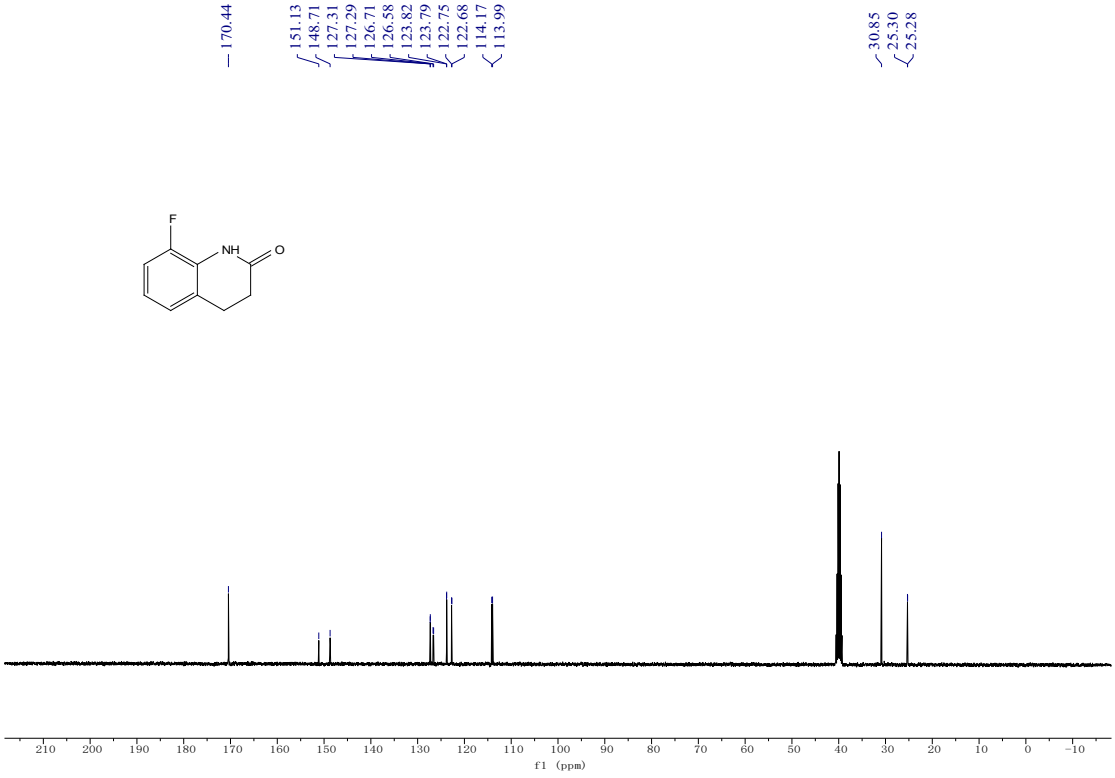
^{13}C NMR spectrum of 8-methyl-3,4-dihydroquinolin-2(1*H*)-one (2h)



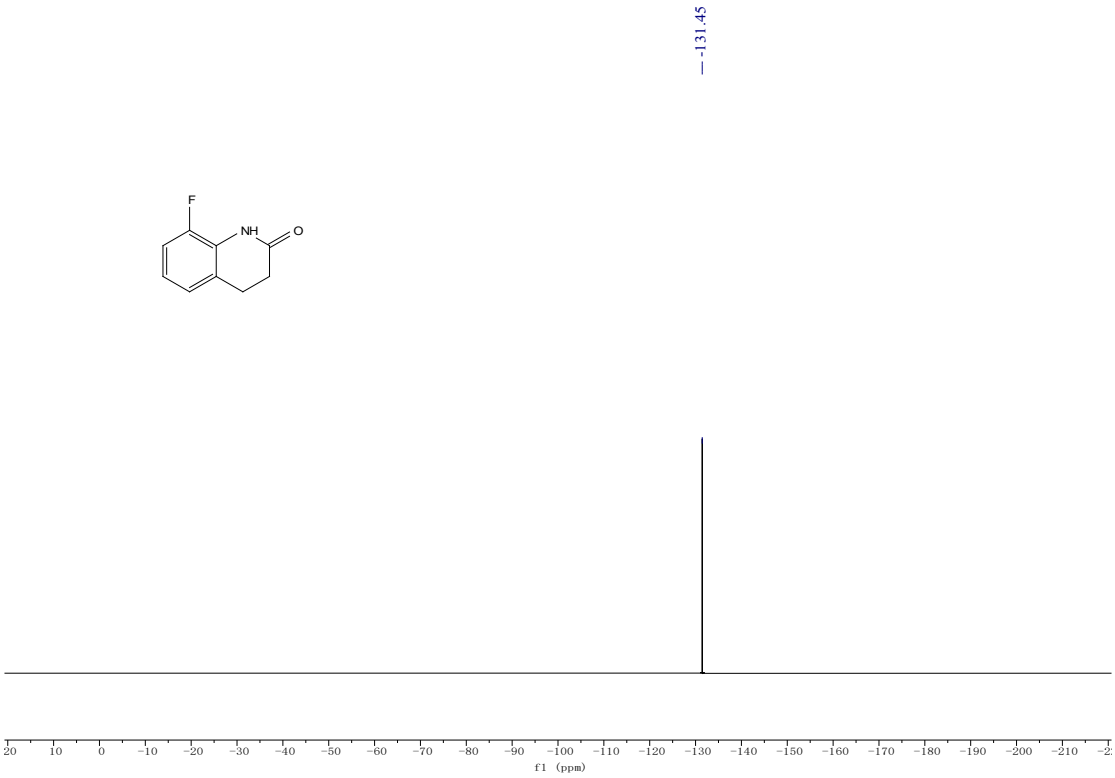
^{1}H NMR spectrum of 8-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2i)



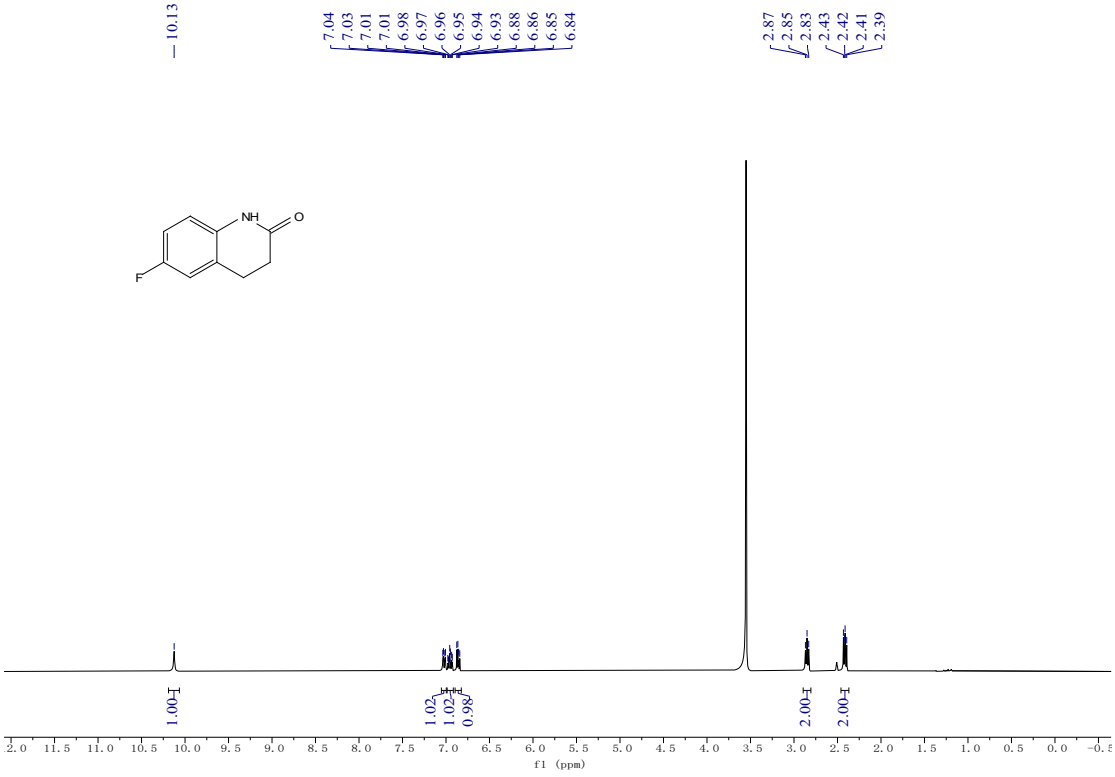
^{13}C NMR spectrum of 8-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2i)



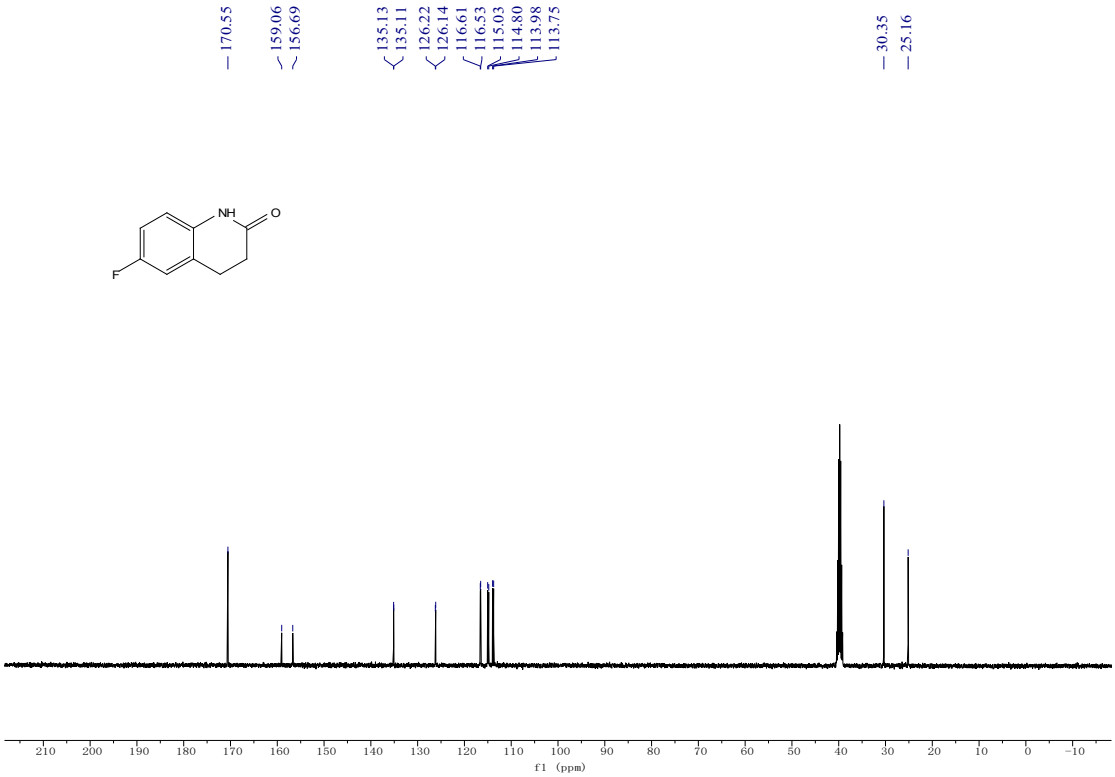
^{19}F NMR spectrum of 8-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2i)



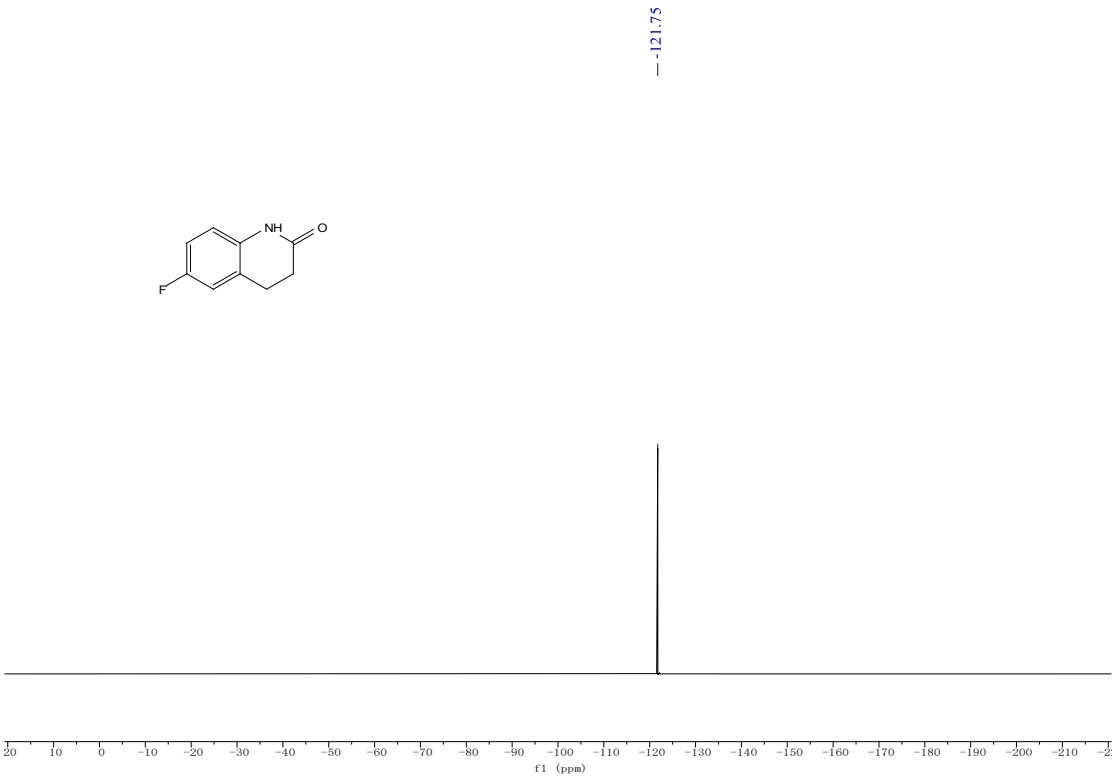
^{1}H NMR spectrum of 6-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2j)



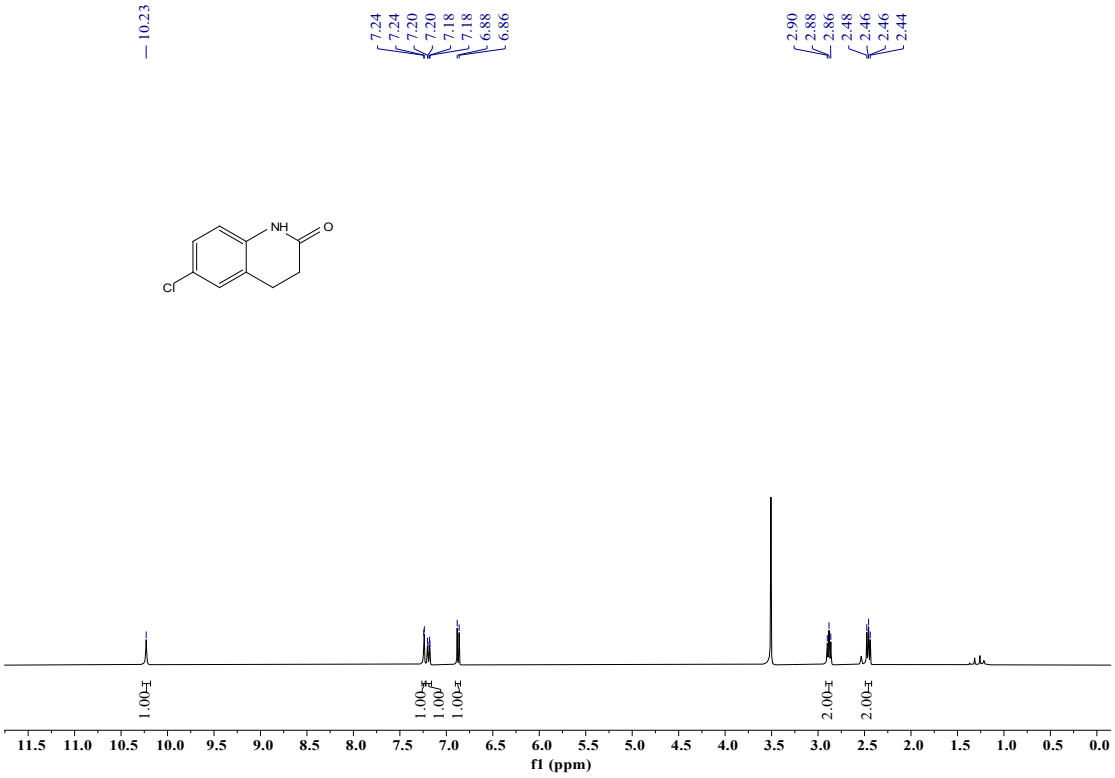
^{13}C NMR spectrum of 6-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2j)



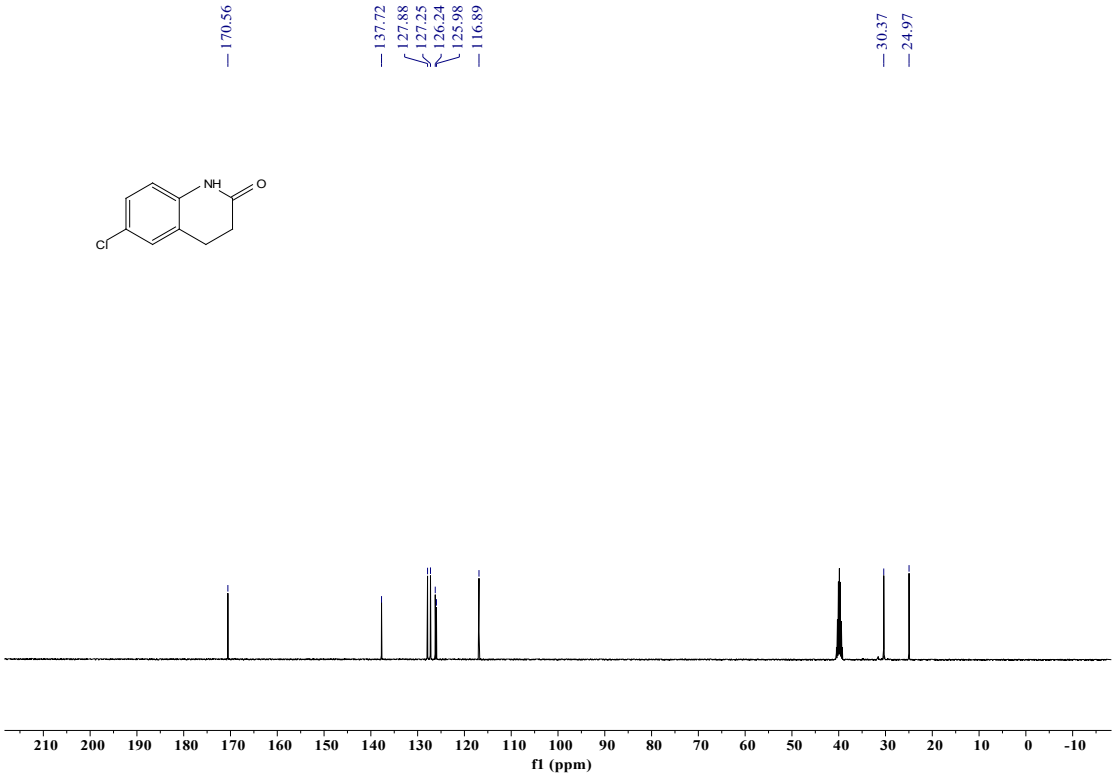
^{19}F NMR spectrum of 6-fluoro-3,4-dihydroquinolin-2(1*H*)-one (2j)



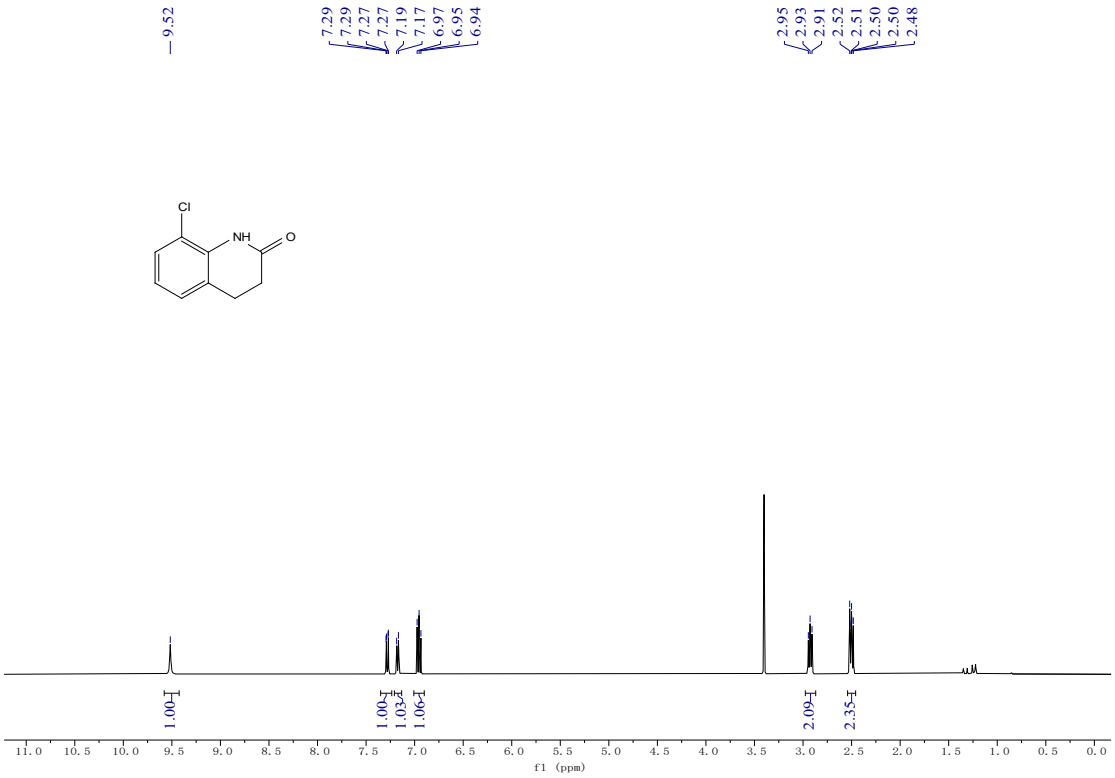
^{1}H NMR spectrum of 6-chloro-3,4-dihydroquinolin-2(1*H*)-one (2k)



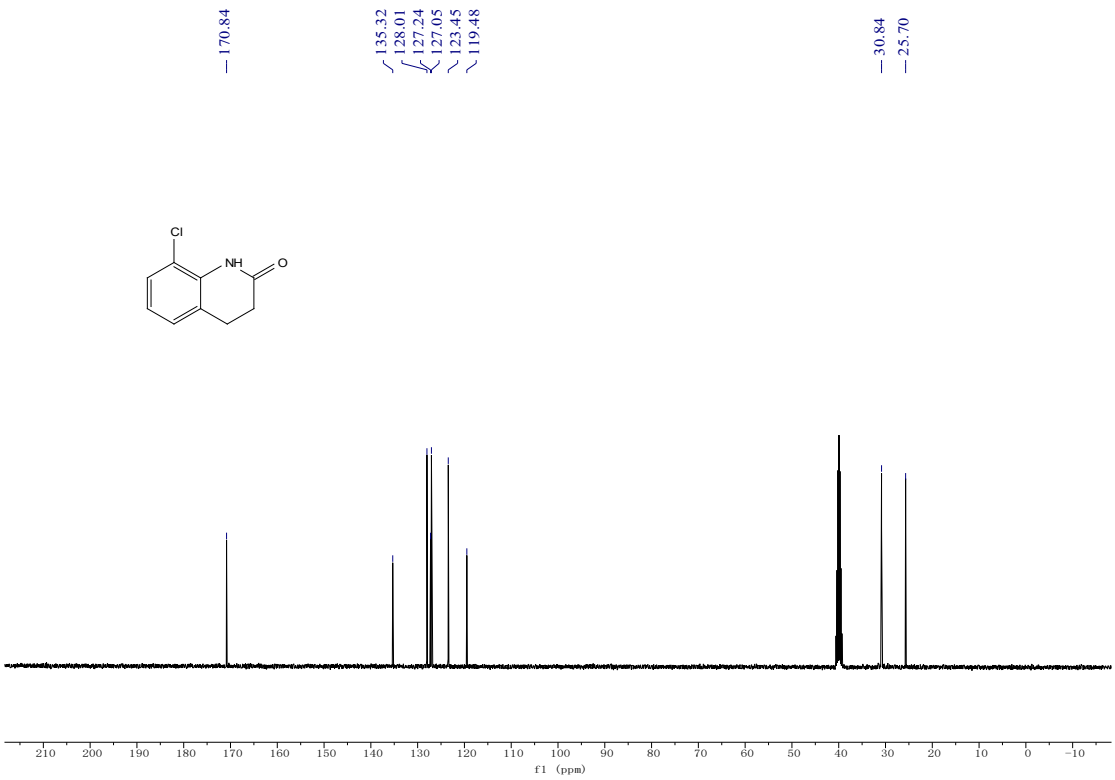
^{13}C NMR spectrum of 6-chloro-3,4-dihydroquinolin-2(1*H*)-one (2k)



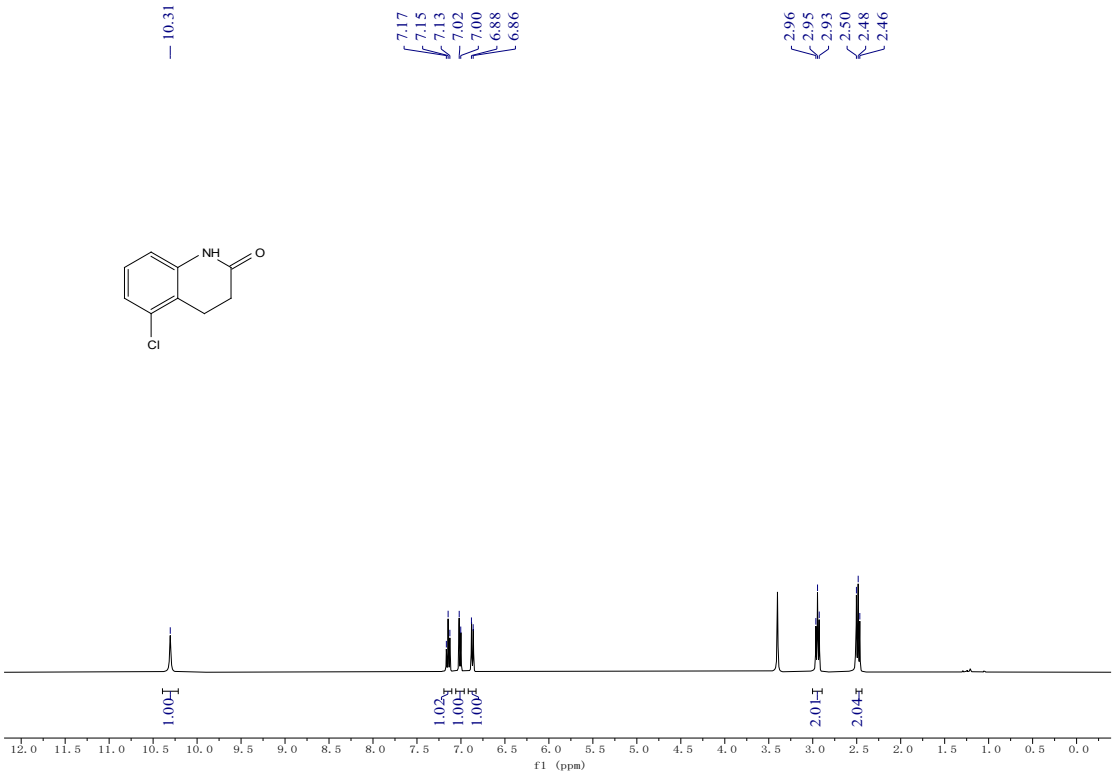
^{1}H NMR spectrum of 8-chloro-3,4-dihydroquinolin-2(1*H*)-one (2l)



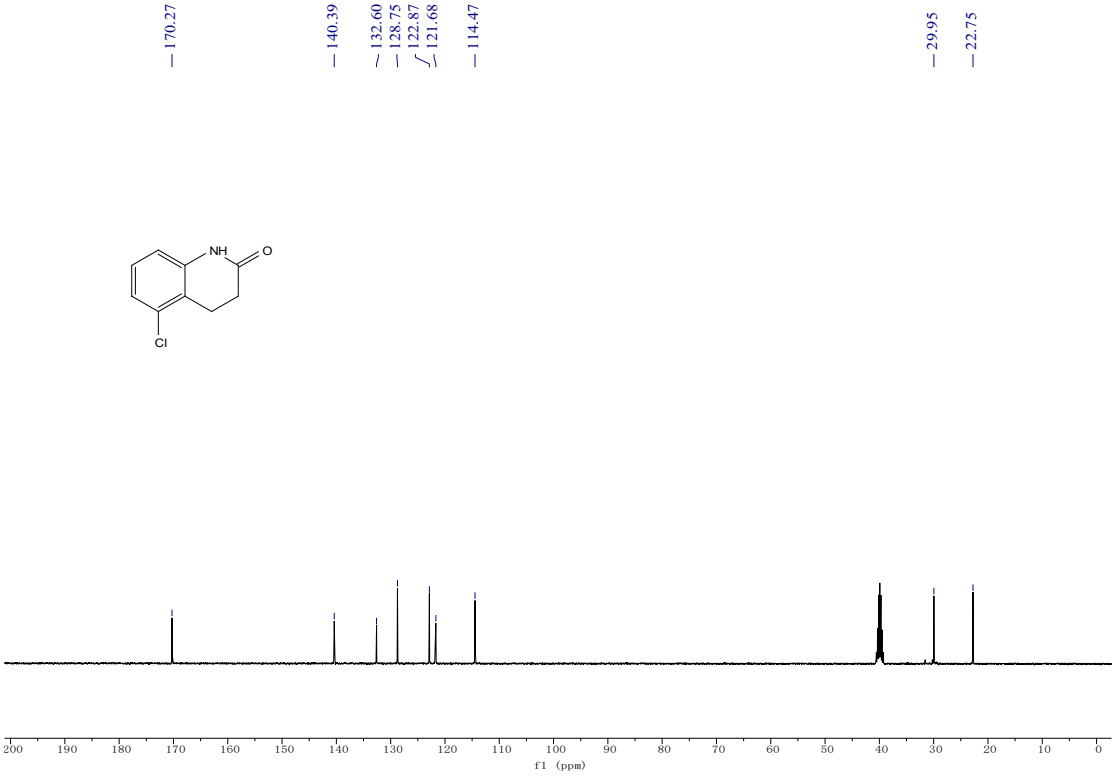
^{13}C NMR spectrum of 8-chloro-3,4-dihydroquinolin-2(1*H*)-one (2l)



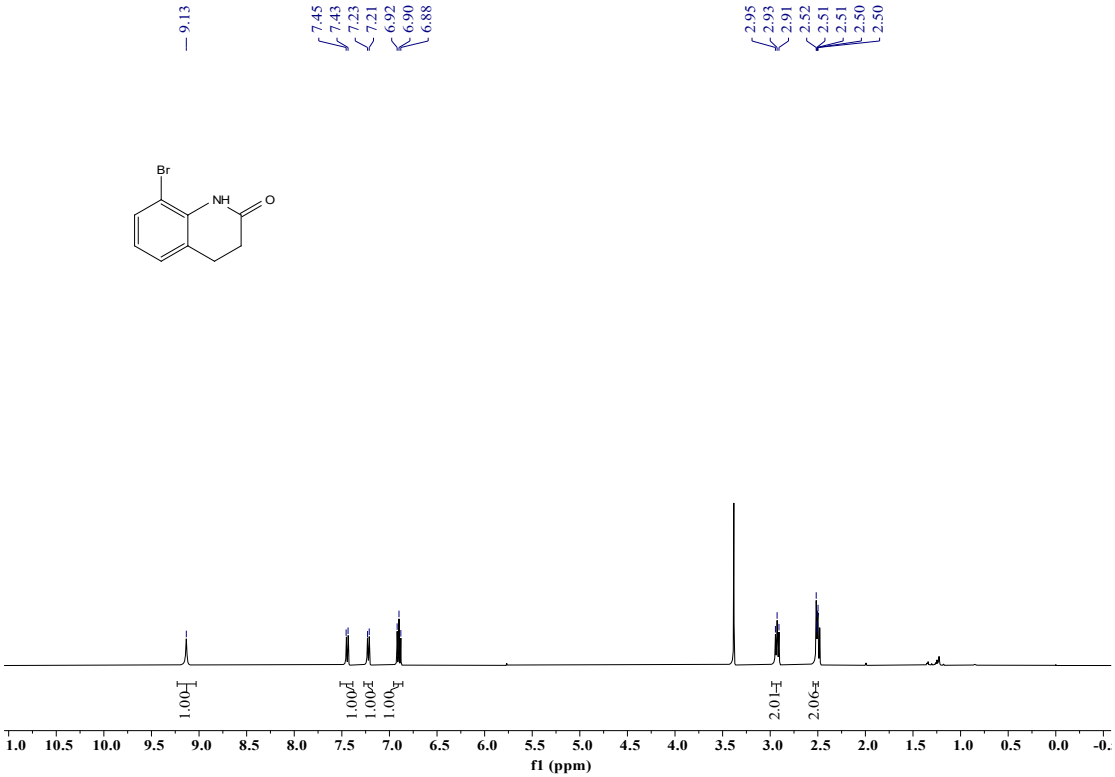
^{1}H NMR spectrum of 5-chloro-3,4-dihydroquinolin-2(1*H*)-one (2m)



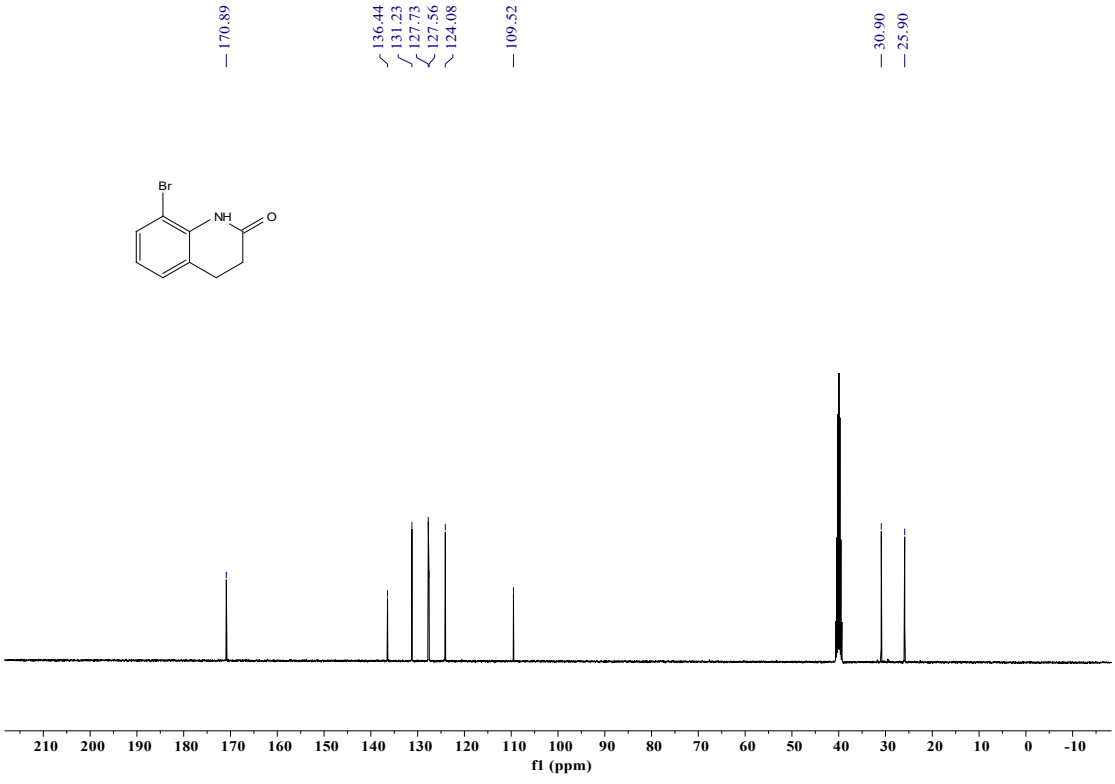
^{13}C NMR spectrum of 5-chloro-3,4-dihydroquinolin-2(1*H*)-one (2m)



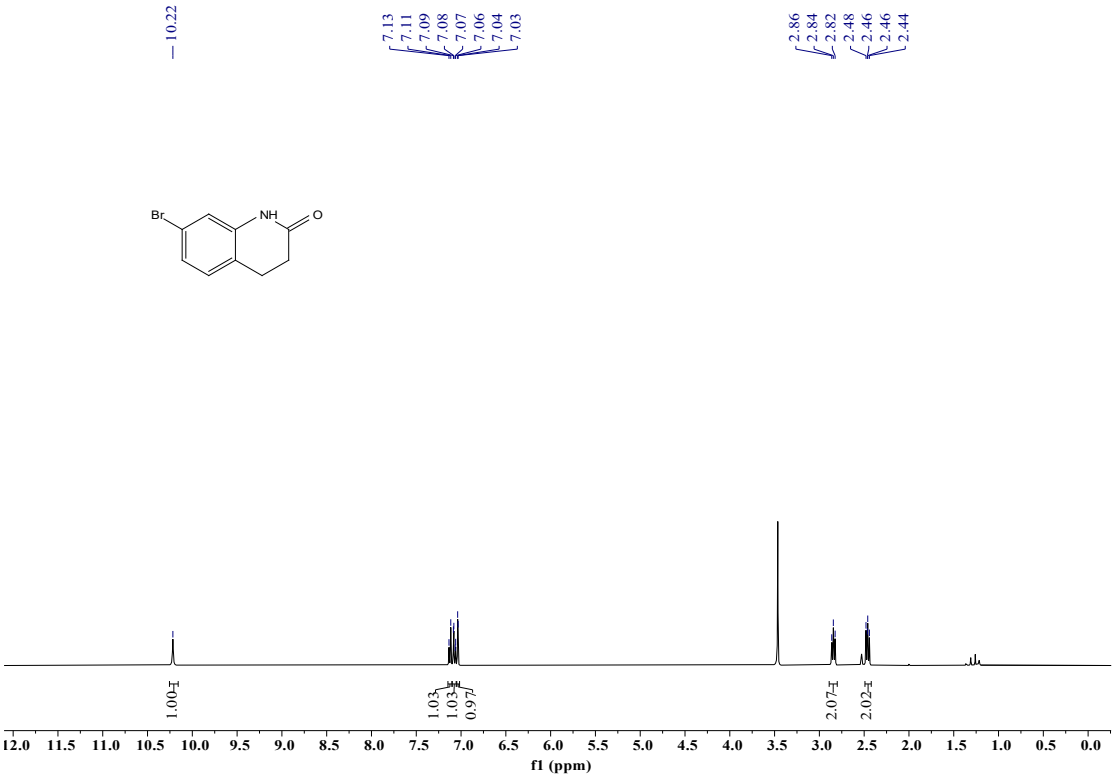
^{1}H NMR spectrum of 8-bromo-3,4-dihydroquinolin-2(1*H*)-one (2n)



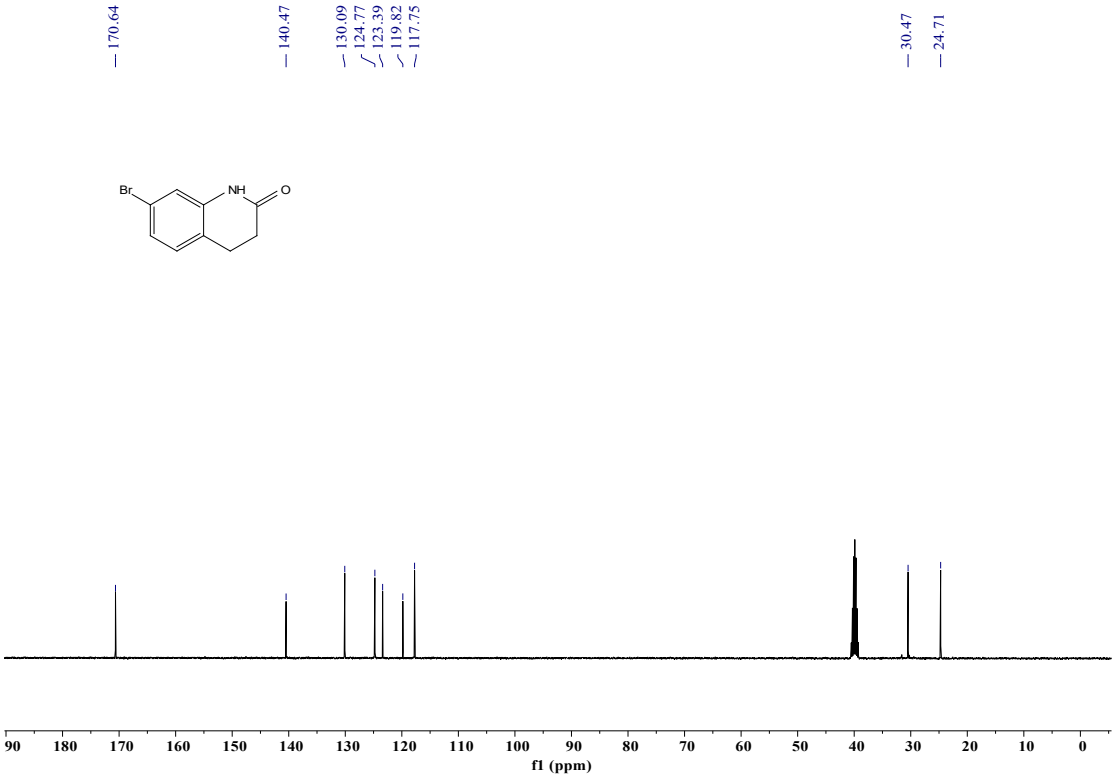
^{13}C NMR spectrum of 8-bromo-3,4-dihydroquinolin-2(1*H*)-one (2n)



^{1}H NMR spectrum of 7-bromo-3,4-dihydroquinolin-2(1*H*)-one (2o)

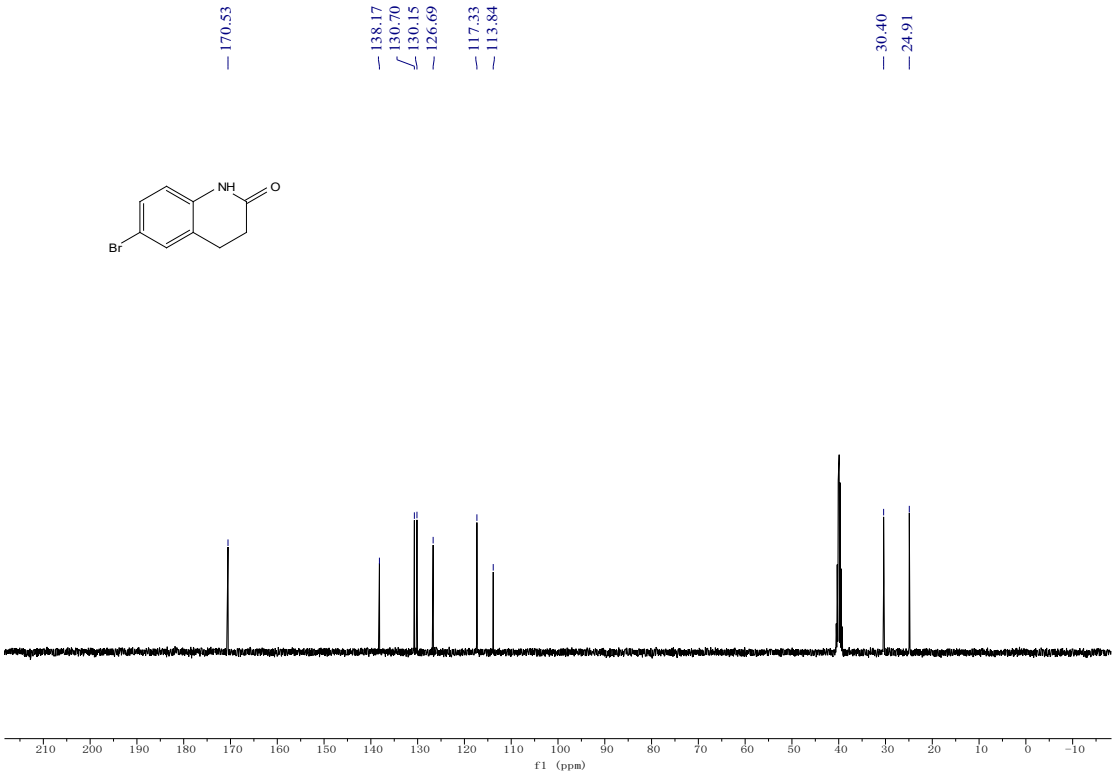


^{13}C NMR spectrum of 7-bromo-3,4-dihydroquinolin-2(1*H*)-one (2o)



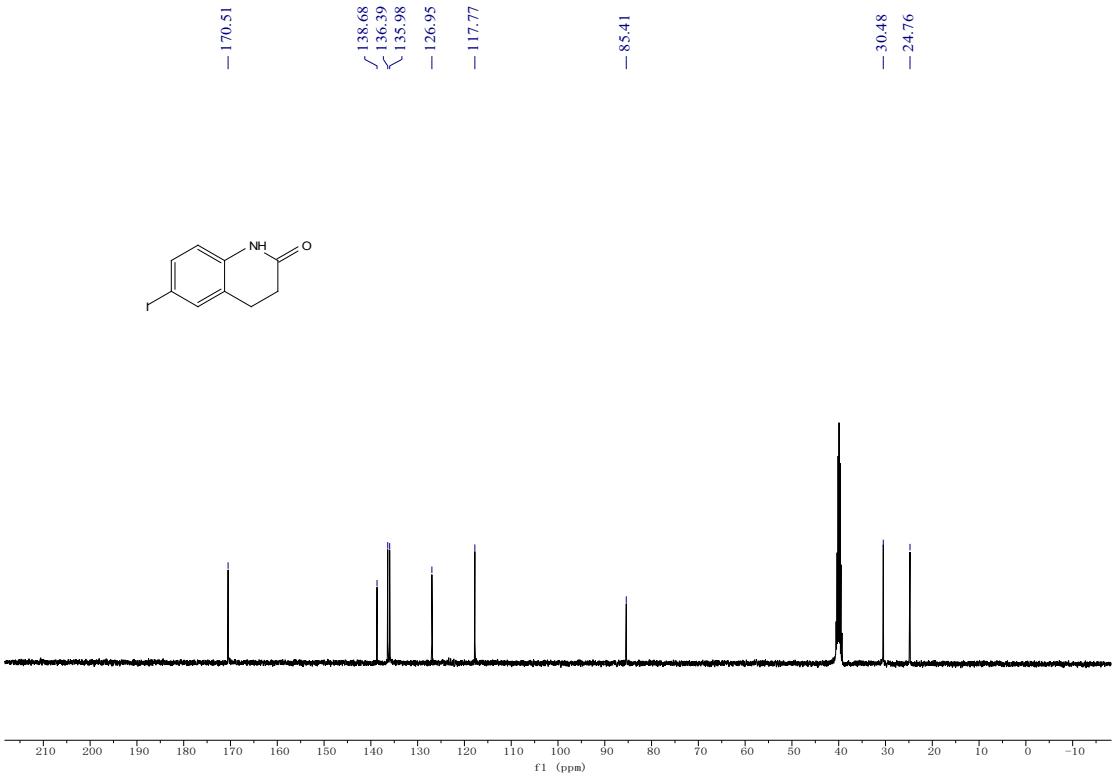
^{1}H NMR spectrum of 6-bromo-3,4-dihydroquinolin-2(1*H*)-one (2p)

^{13}C NMR spectrum of 6-bromo-3,4-dihydroquinolin-2(1*H*)-one (2p)



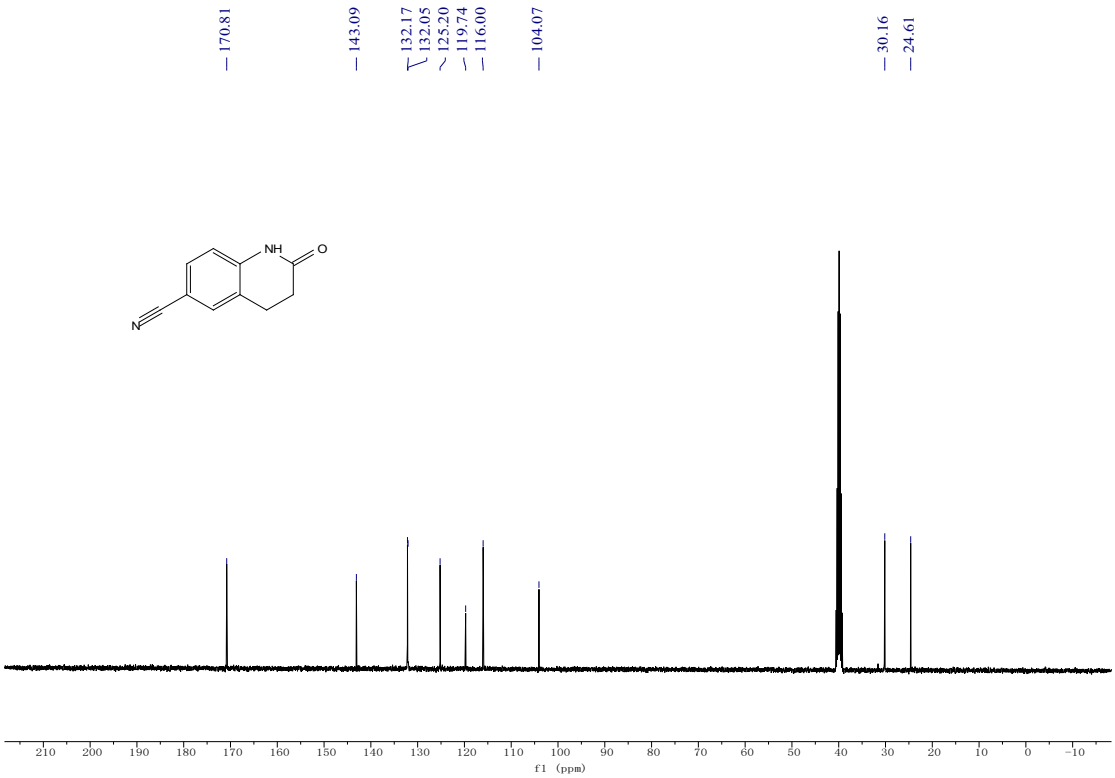
^{1}H NMR spectrum of 6-iodo-3,4-dihydroquinolin-2(1*H*)-one (2q)

^{13}C NMR spectrum of 6-iodo-3,4-dihydroquinolin-2(1*H*)-one (2q)

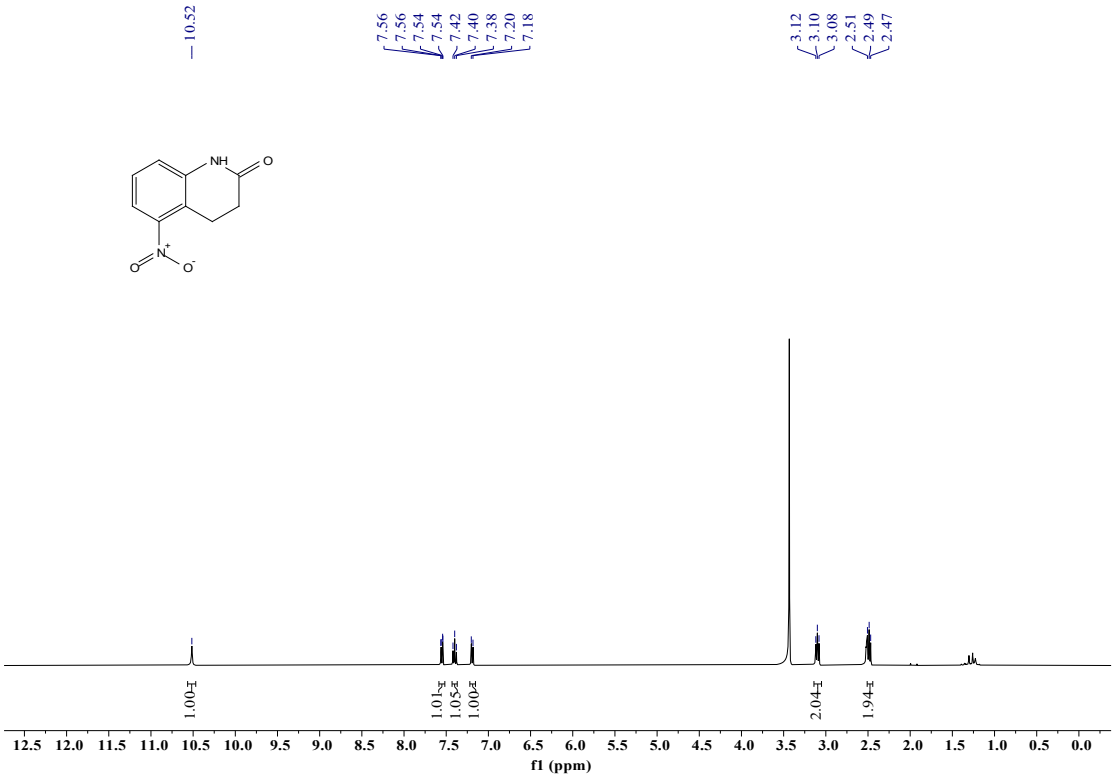


^{1}H NMR spectrum of 2-oxo-1,2,3,4-tetrahydroquinoline-6-carbonitrile (2r)

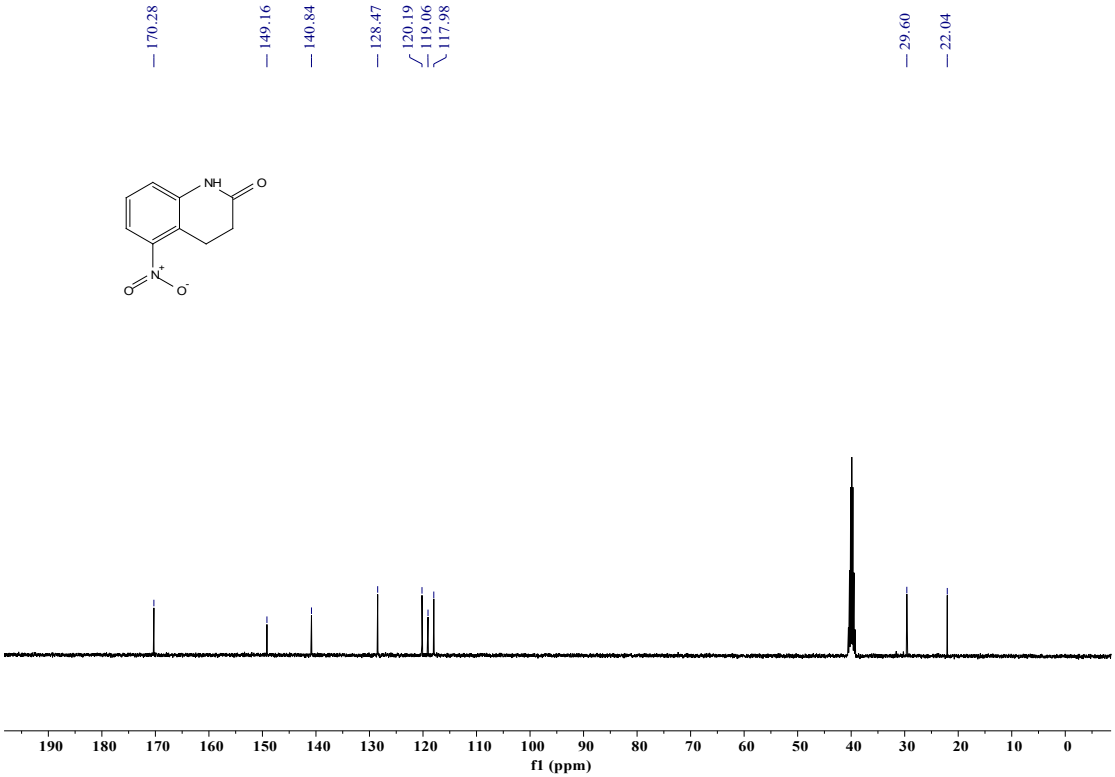
^{13}C NMR spectrum of 2-oxo-1,2,3,4-tetrahydroquinoline-6-carbonitrile (2r)



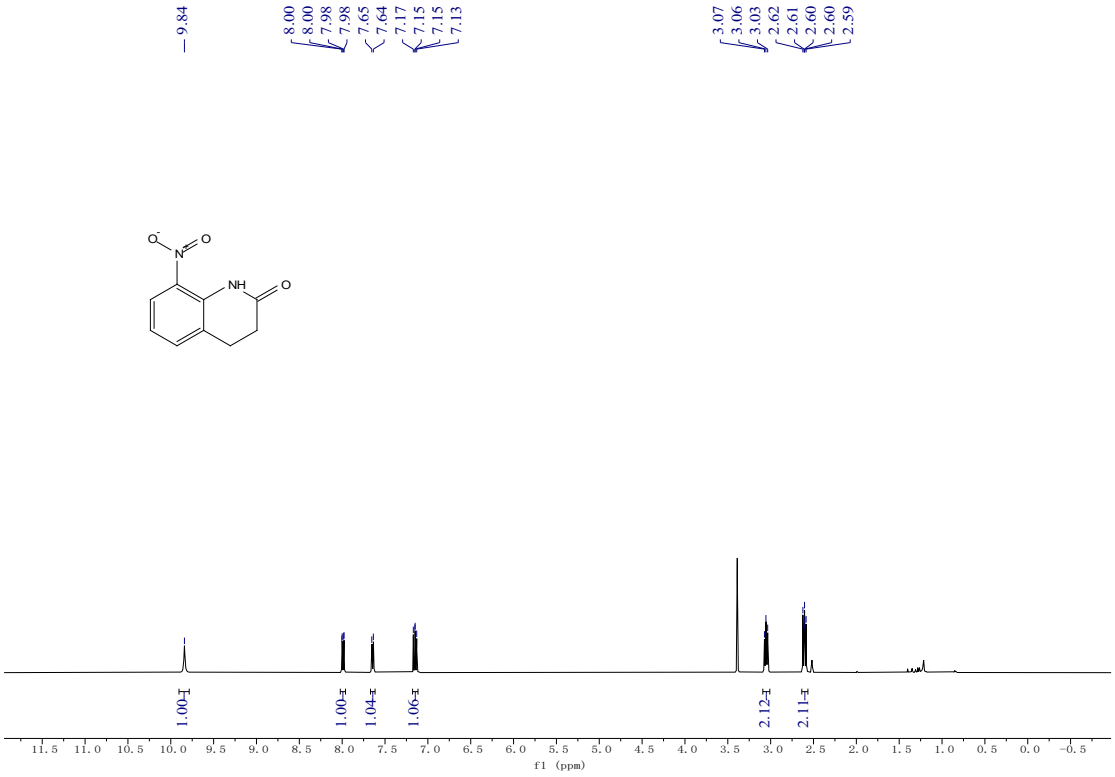
^{1}H NMR spectrum of 5-nitro-3,4-dihydroquinolin-2(1*H*)-one (2s)



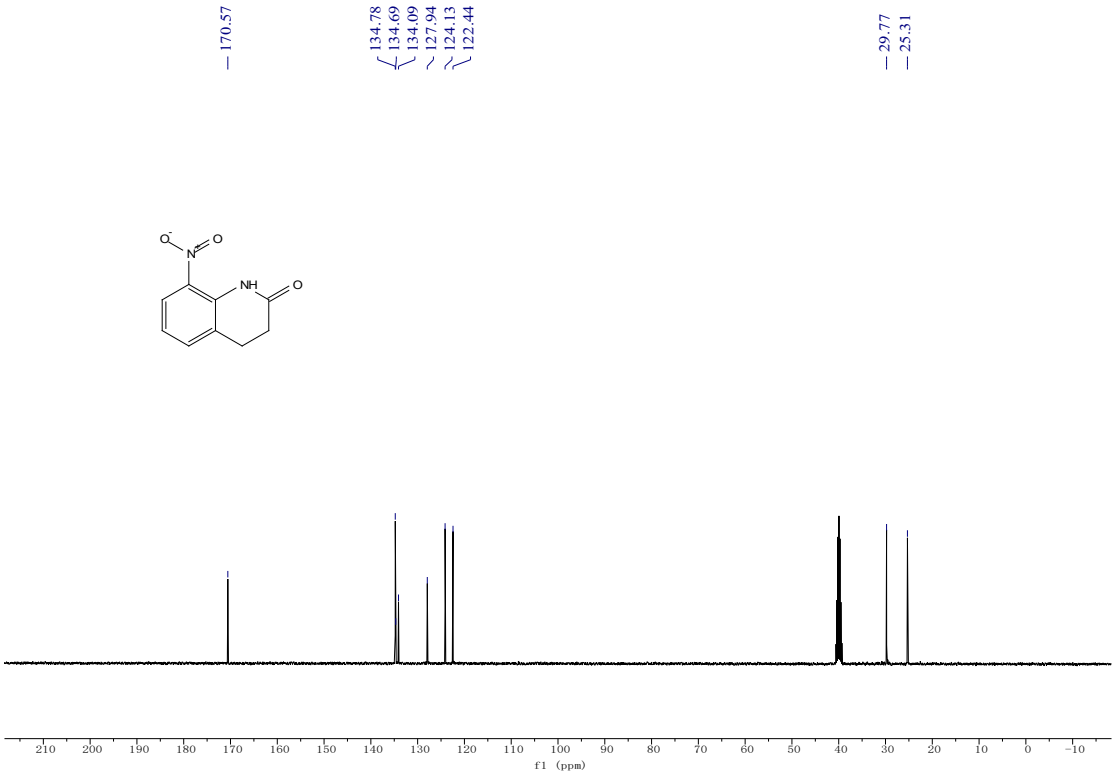
^{13}C NMR spectrum of 5-nitro-3,4-dihydroquinolin-2(1*H*)-one (2s)



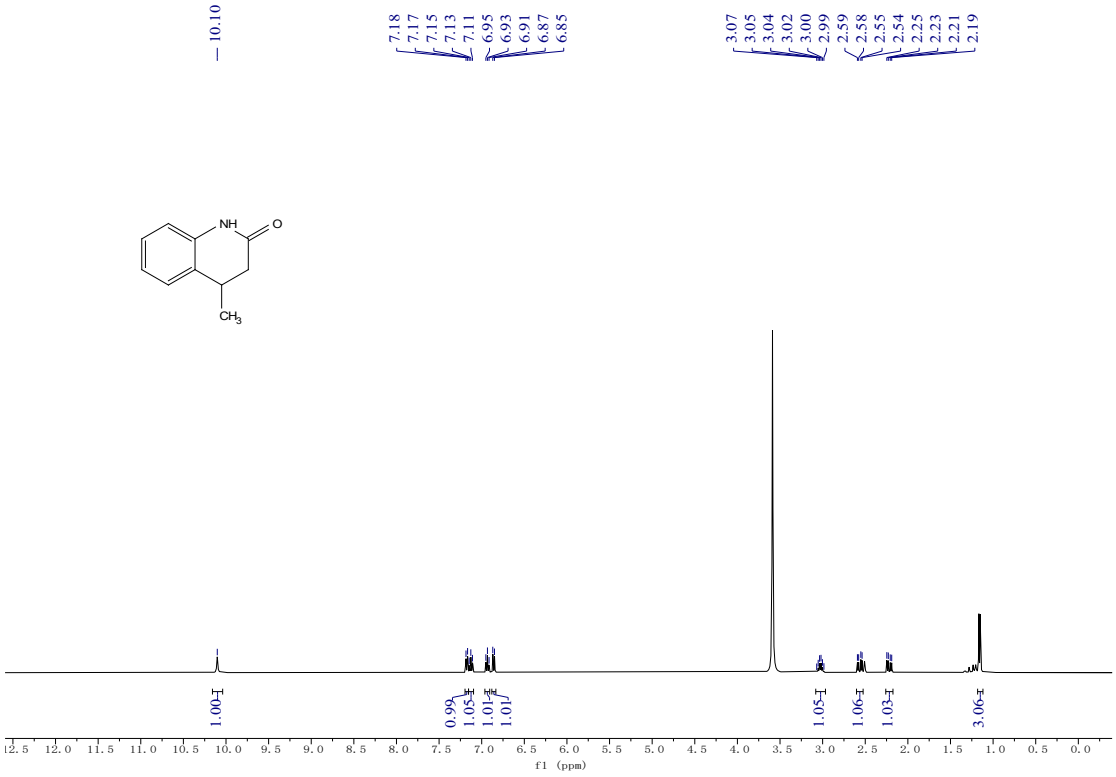
^{1}H NMR spectrum of 8-nitro-3,4-dihydroquinolin-2(1*H*)-one (2t)



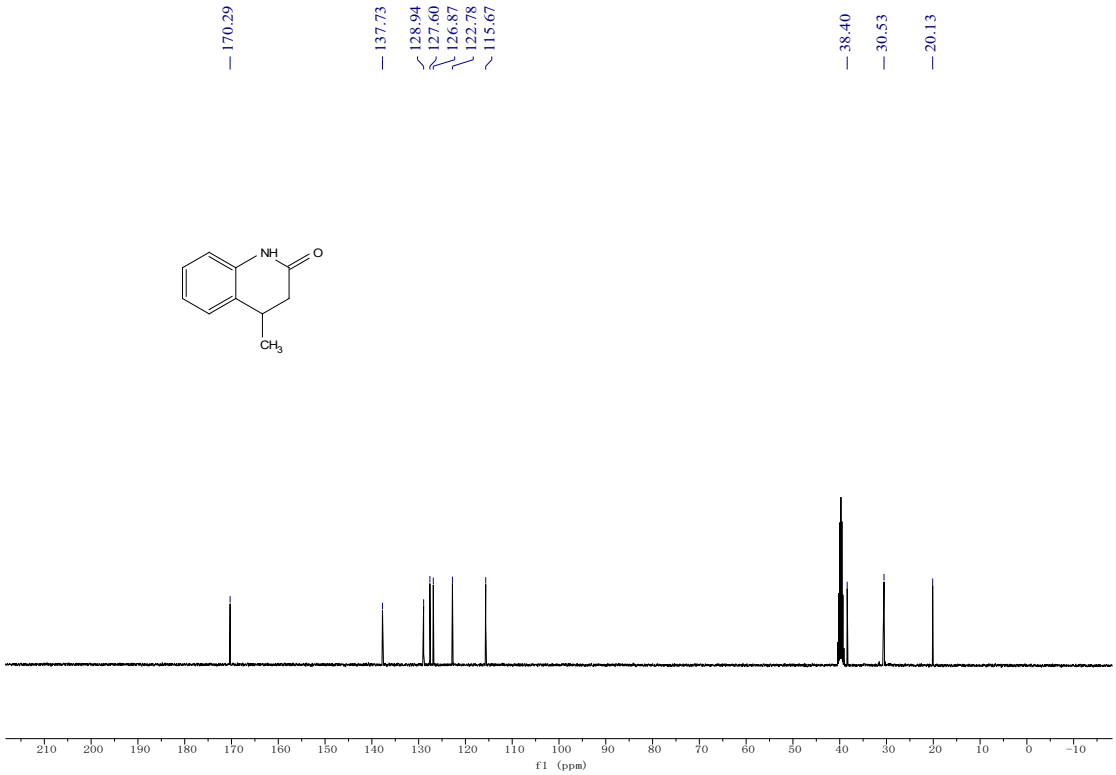
^{13}C NMR spectrum of 8-nitro-3,4-dihydroquinolin-2(1*H*)-one (2t)



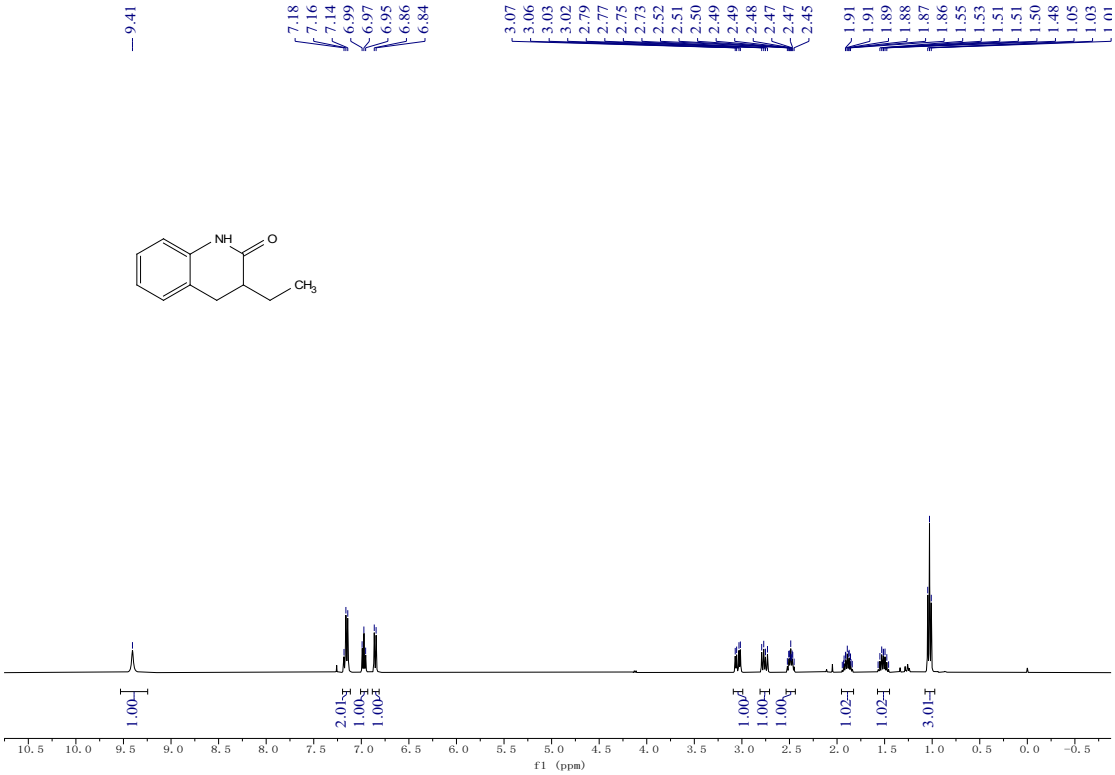
^{1}H NMR spectrum of 4-methyl-3,4-dihydroquinolin-2(1*H*)-one (2u)



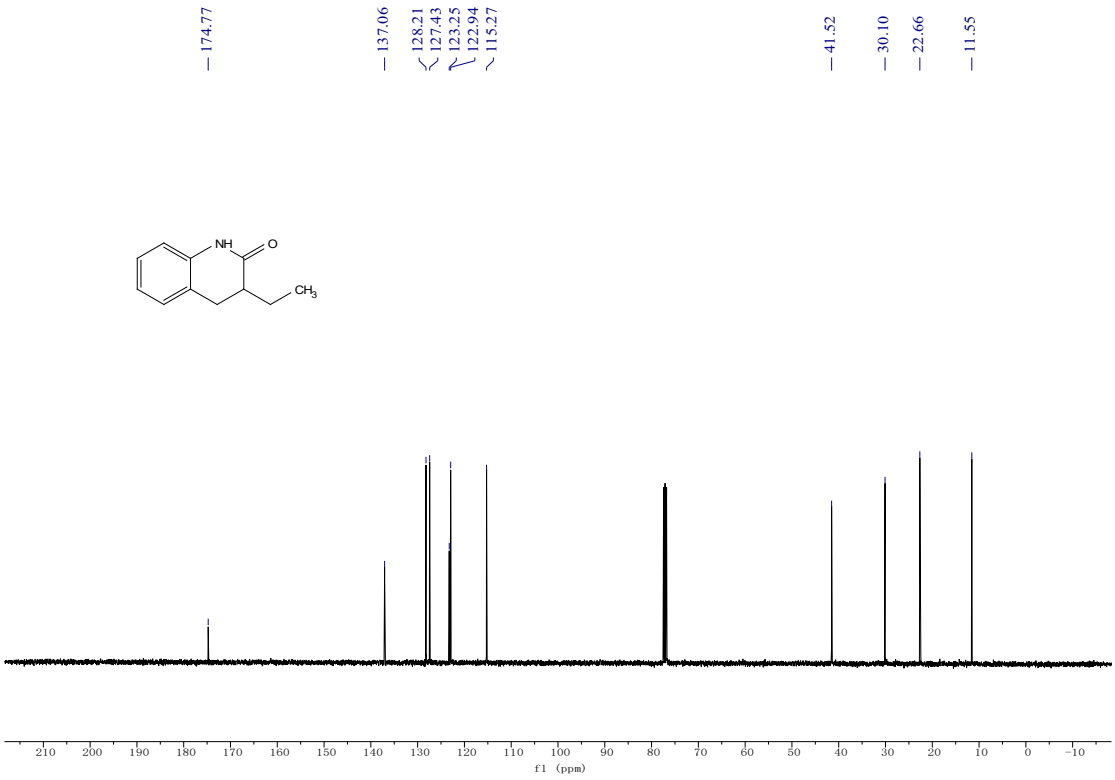
^{13}C NMR spectrum of 4-methyl-3,4-dihydroquinolin-2(1*H*)-one (2u)



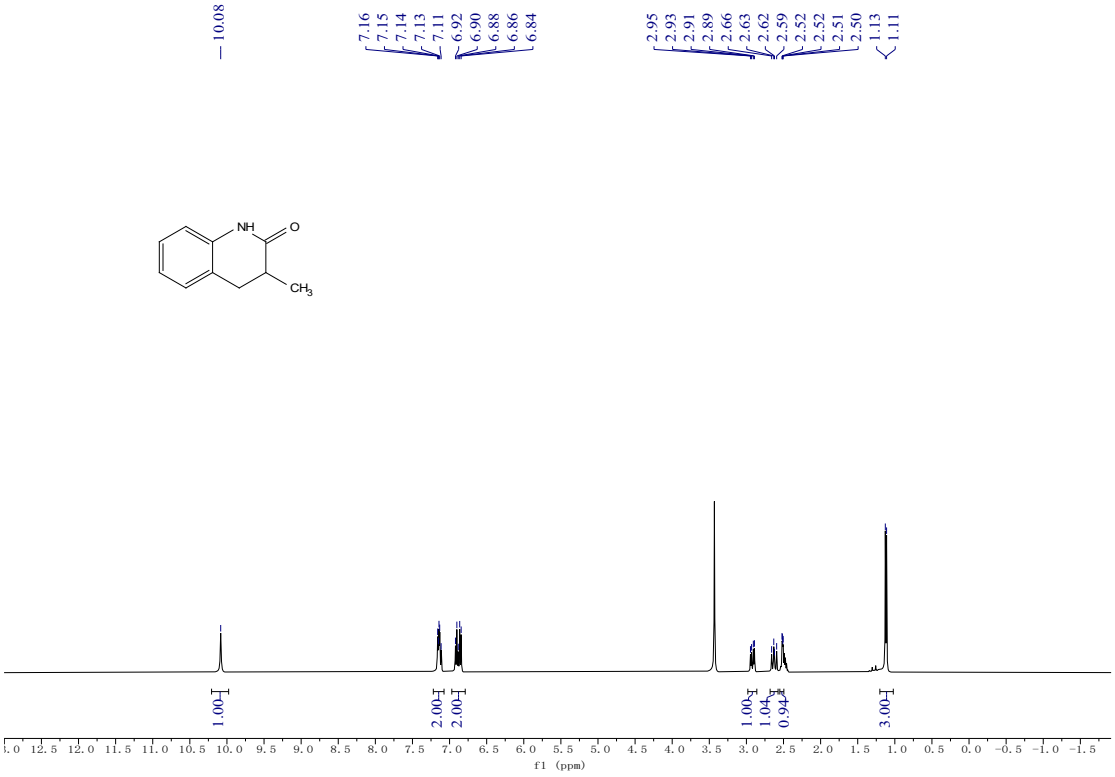
^{1}H NMR spectrum of 3-ethyl-3,4-dihydroquinolin-2(1*H*)-one (2v)



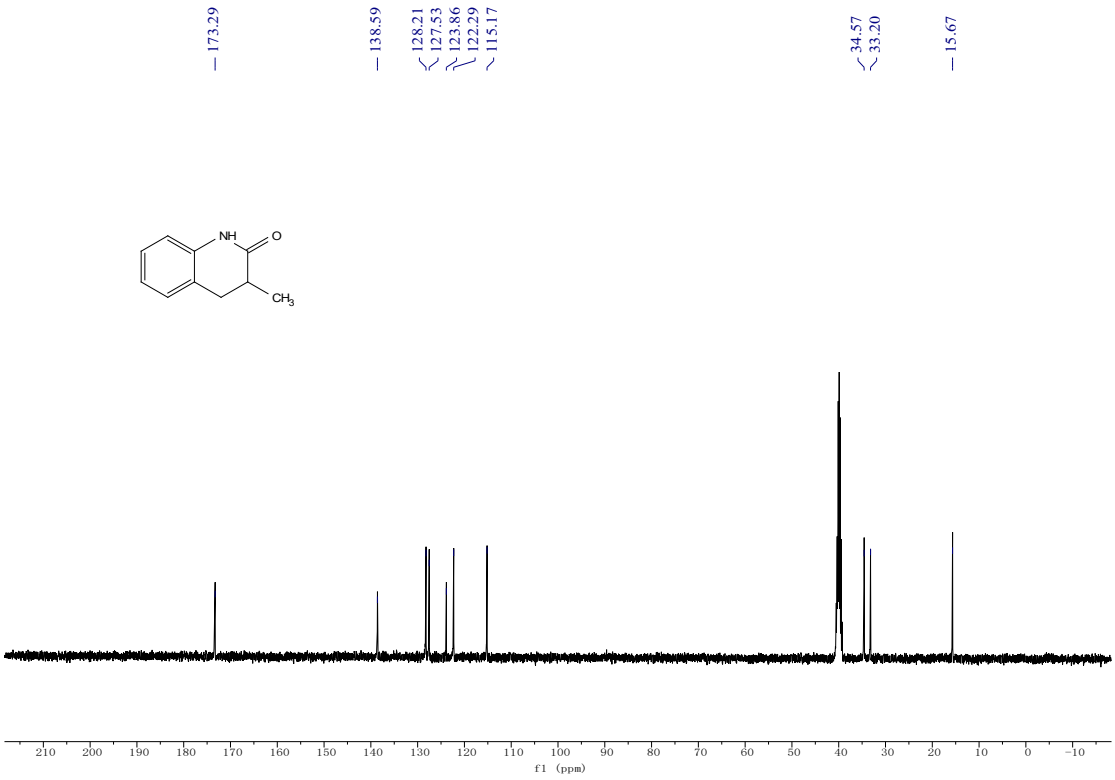
^{13}C NMR spectrum of 3-ethyl-3,4-dihydroquinolin-2(1*H*)-one (2v)



^{1}H NMR spectrum of 3-methyl-3,4-dihydroquinolin-2(1*H*)-one (2w)

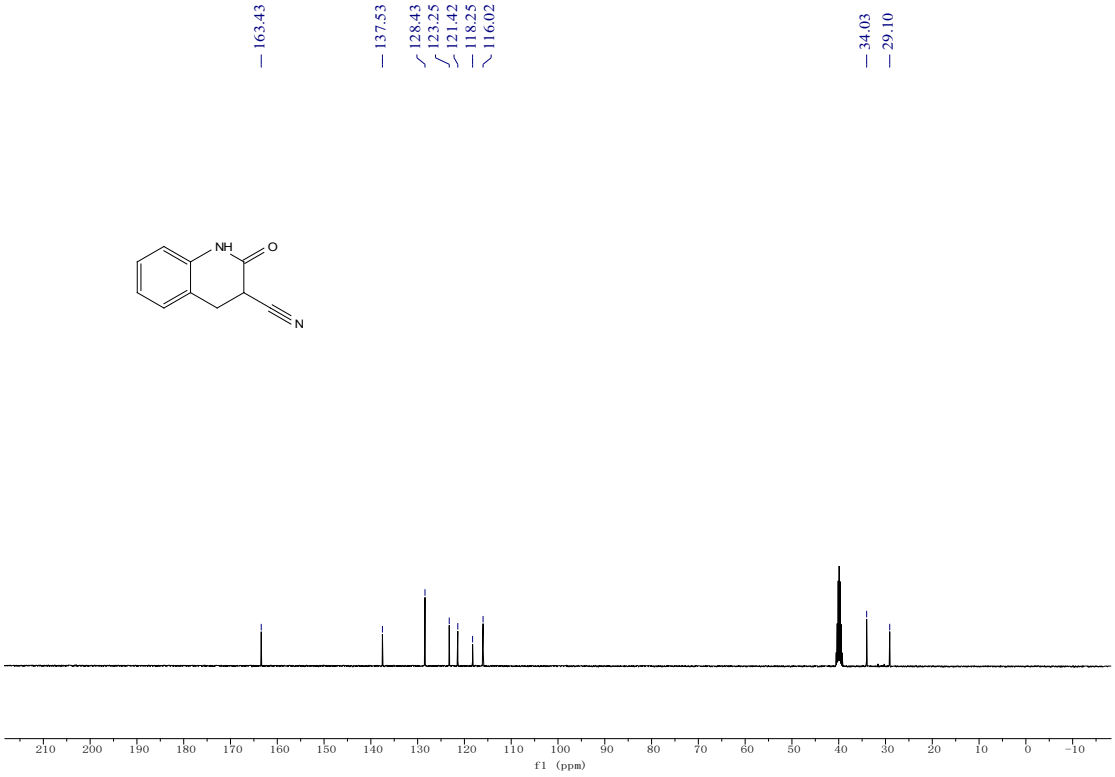


^{13}C NMR spectrum of 3-methyl-3,4-dihydroquinolin-2(1*H*)-one (2w)

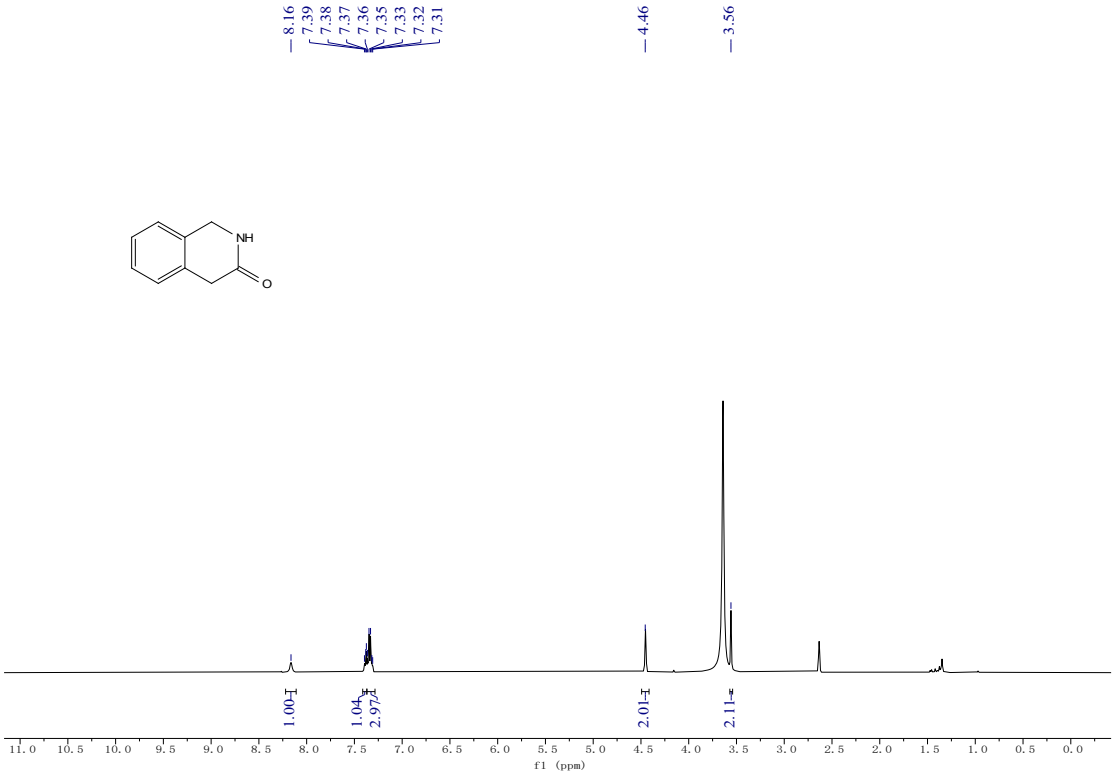


^{1}H NMR spectrum of 2-oxo-1,2,3,4-tetrahydroquinoline-3-carbonitrile (2x)

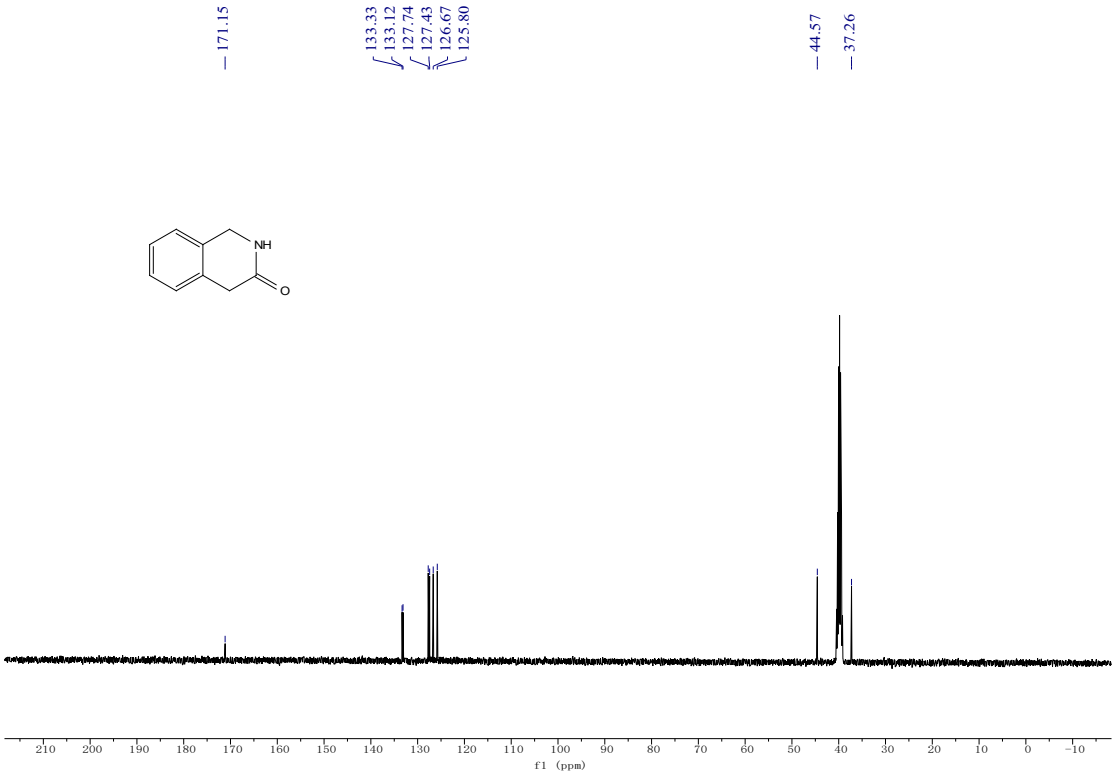
^{13}C NMR spectrum of 2-oxo-1,2,3,4-tetrahydroquinoline-3-carbonitrile (2x)



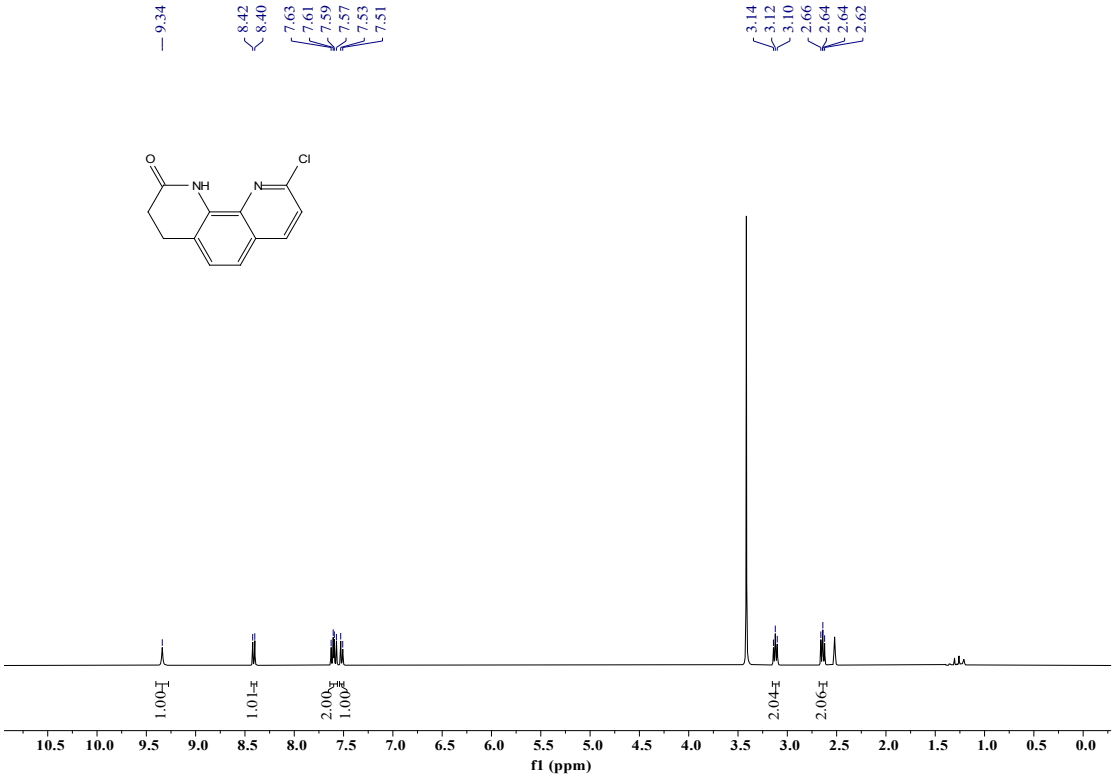
^{1}H NMR spectrum of 1,4-dihydroisoquinolin-3(2*H*)-one (2y)



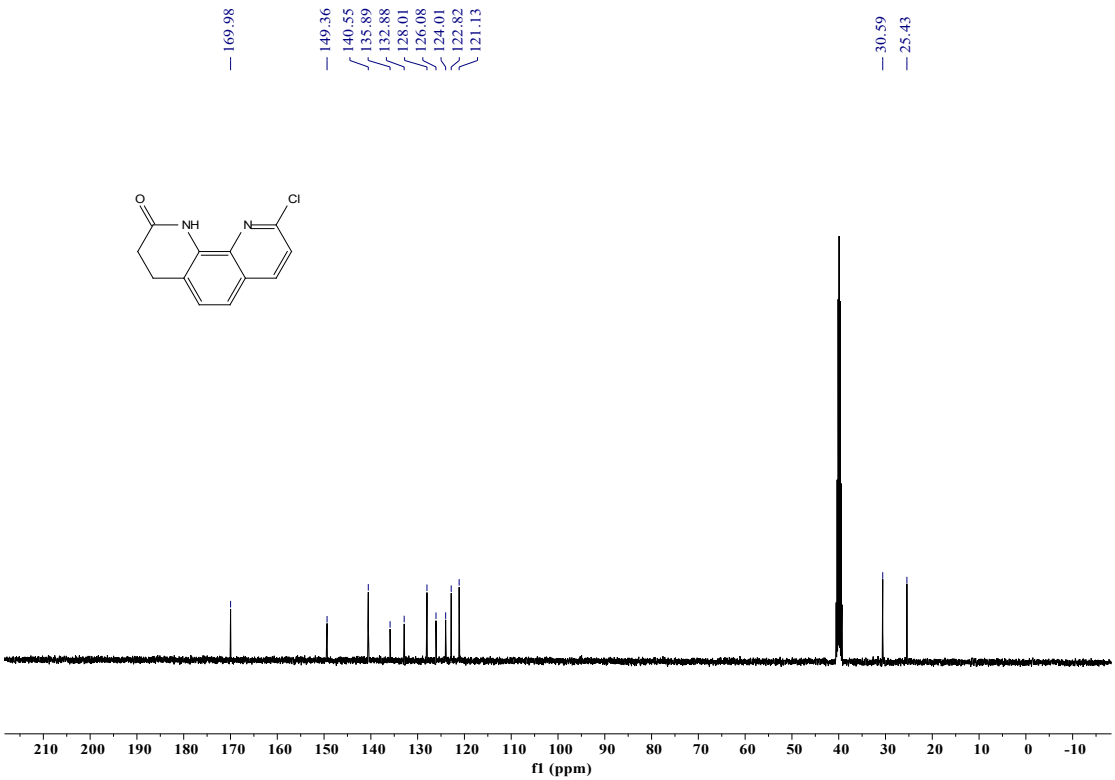
^{13}C NMR spectrum of 1,4-dihydroisoquinolin-3(2*H*)-one (2y)



^{1}H NMR spectrum of 9-chloro-3,4-dihydro-1,10-phenanthrolin-2(1*H*)-one (2z)

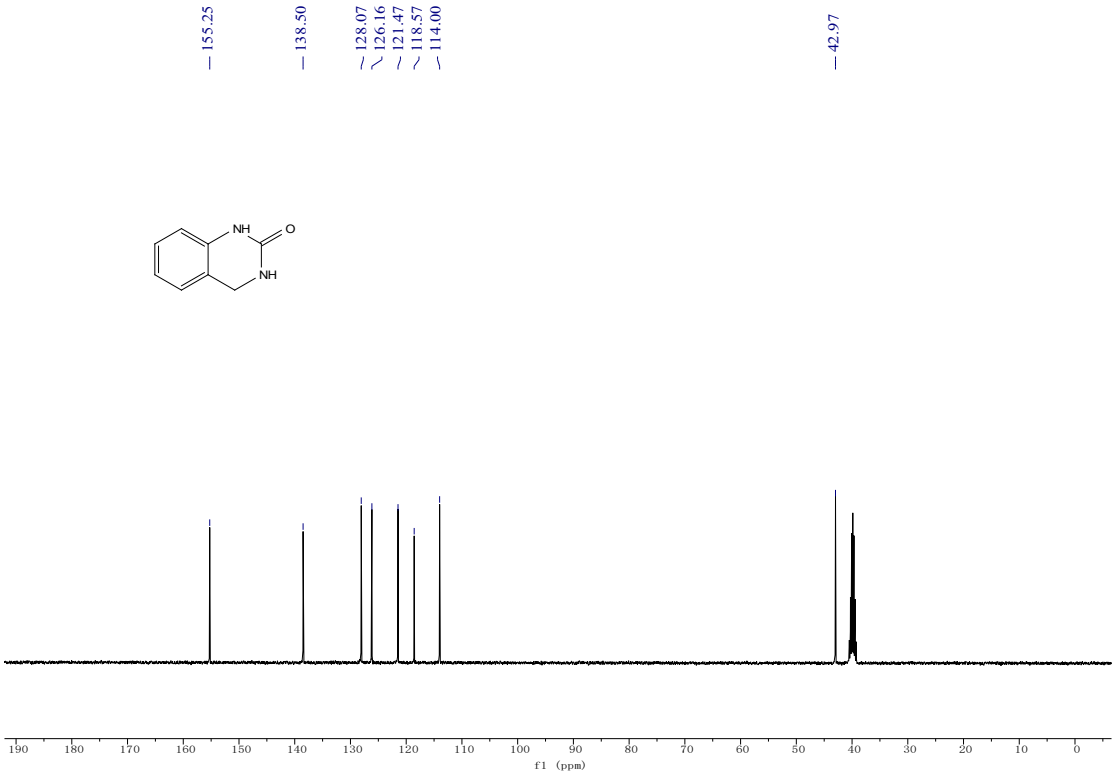


^{13}C NMR spectrum of 9-chloro-3,4-dihydro-1,10-phenanthrolin-2(1*H*)-one (2z)

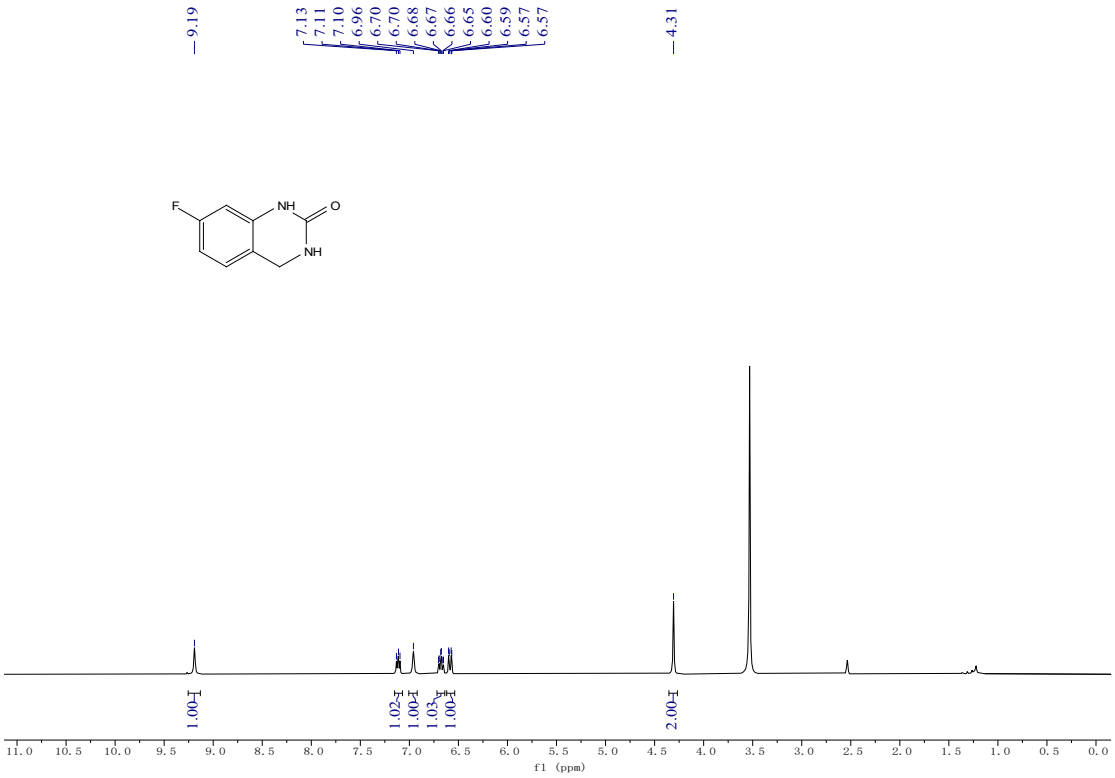


^{1}H NMR spectrum of 3,4-dihydroquinazolin-2(1*H*)-one (2ab)

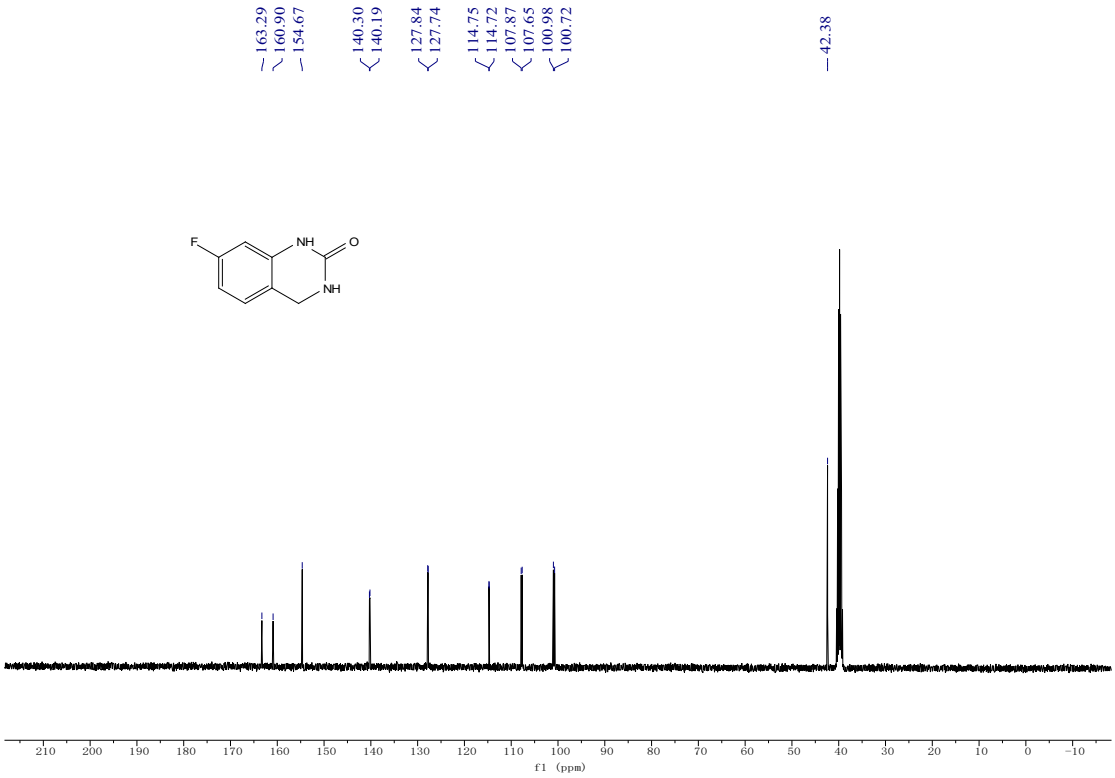
^{13}C NMR spectrum of 3,4-dihydroquinazolin-2(1*H*)-one (2ab)



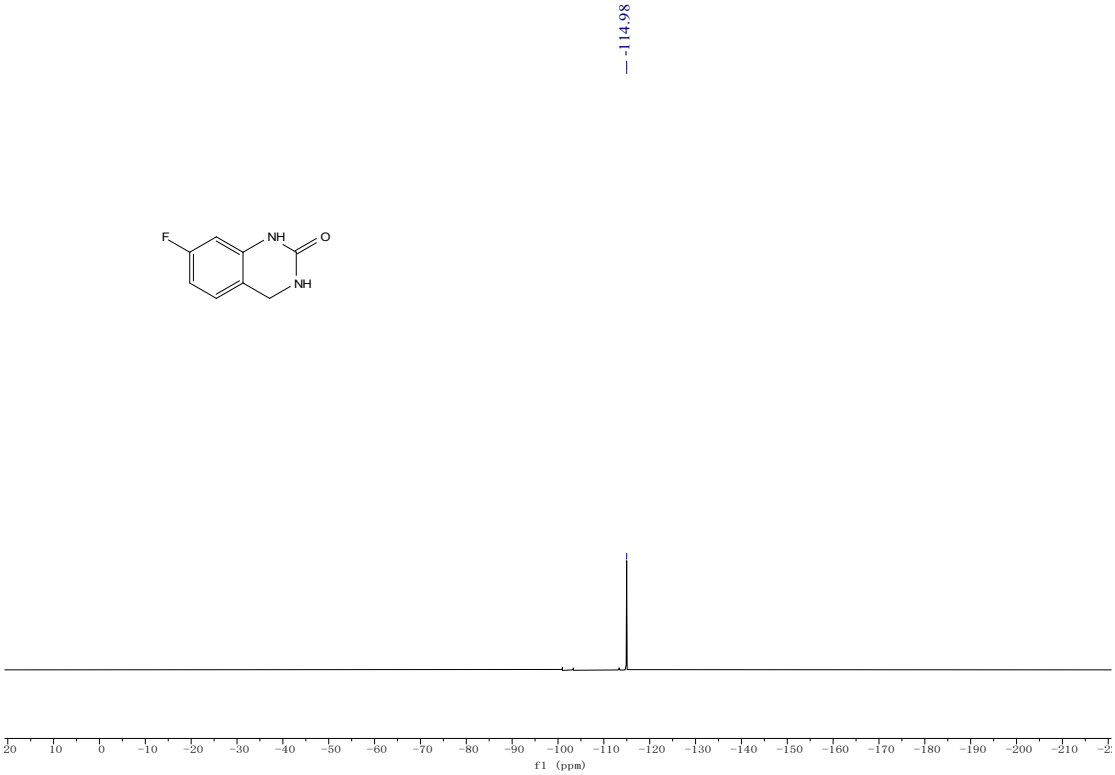
^{1}H NMR spectrum of 7-fluoro-3,4-dihydroquinazolin-2(1*H*)-one (2ac)



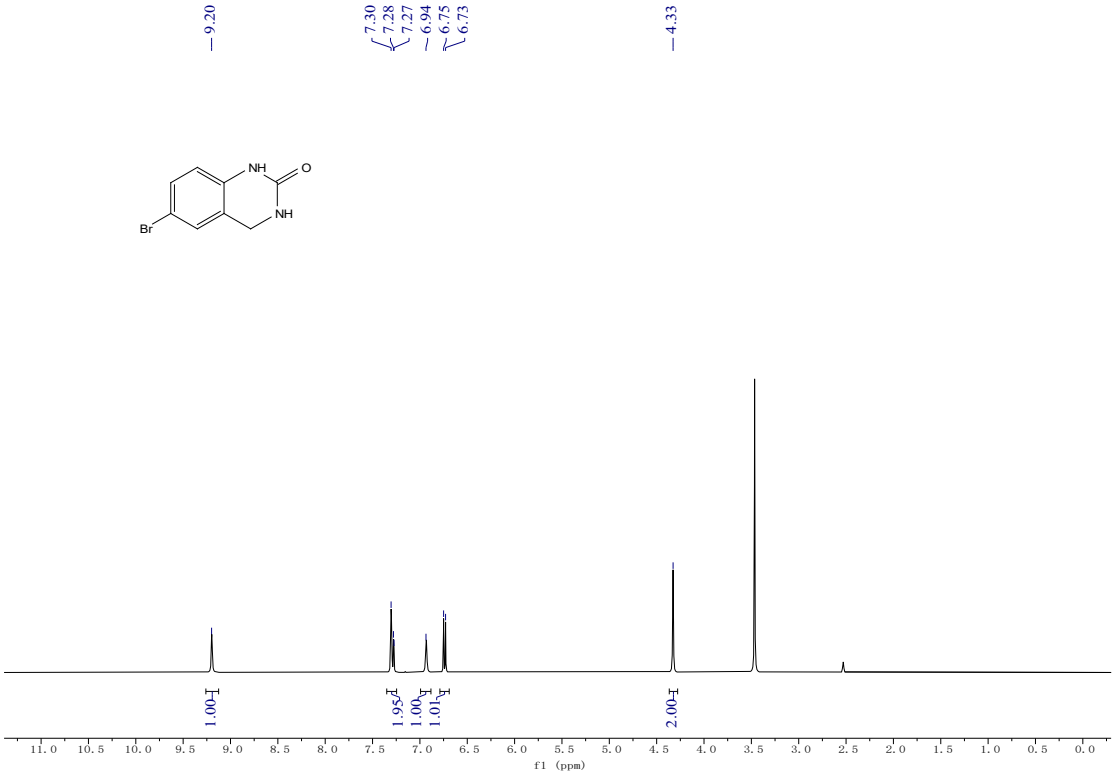
^{13}C NMR spectrum of 7-fluoro-3,4-dihydroquinazolin-2(1*H*)-one (2ac)



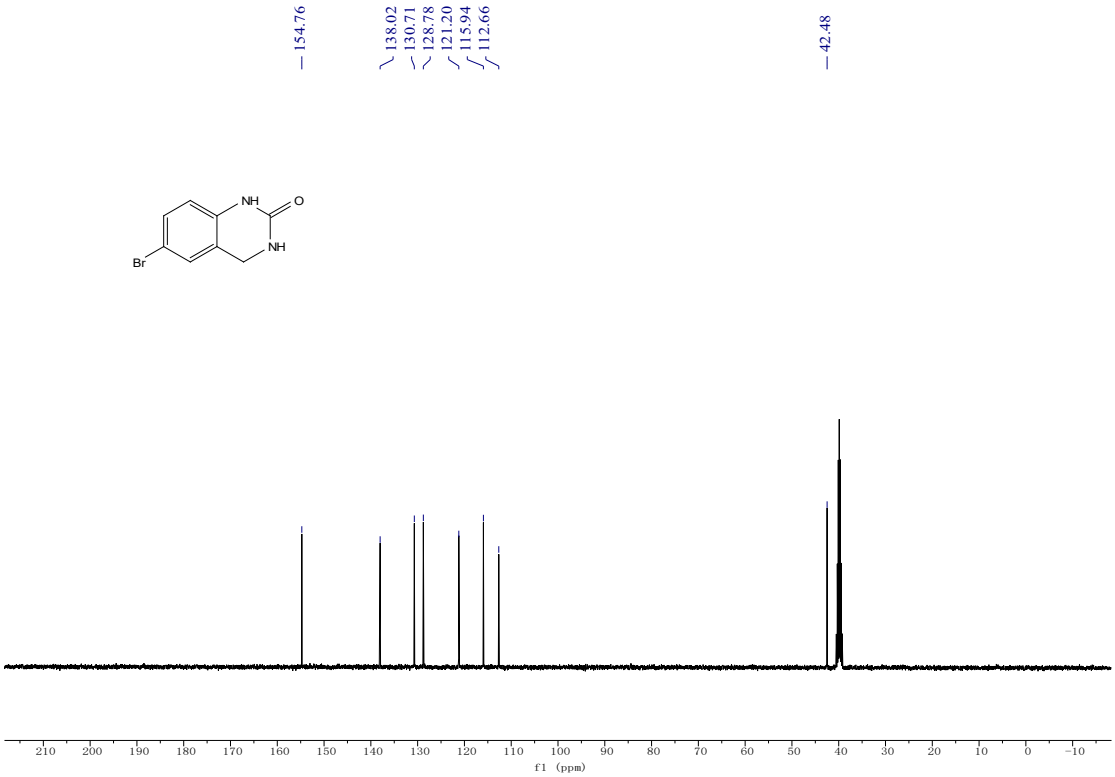
^{19}F NMR spectrum of 7-fluoro-3,4-dihydroquinazolin-2(1*H*)-one (2ac)



^{1}H NMR spectrum of 6-bromo-3,4-dihydroquinazolin-2(1*H*)-one (2ad)

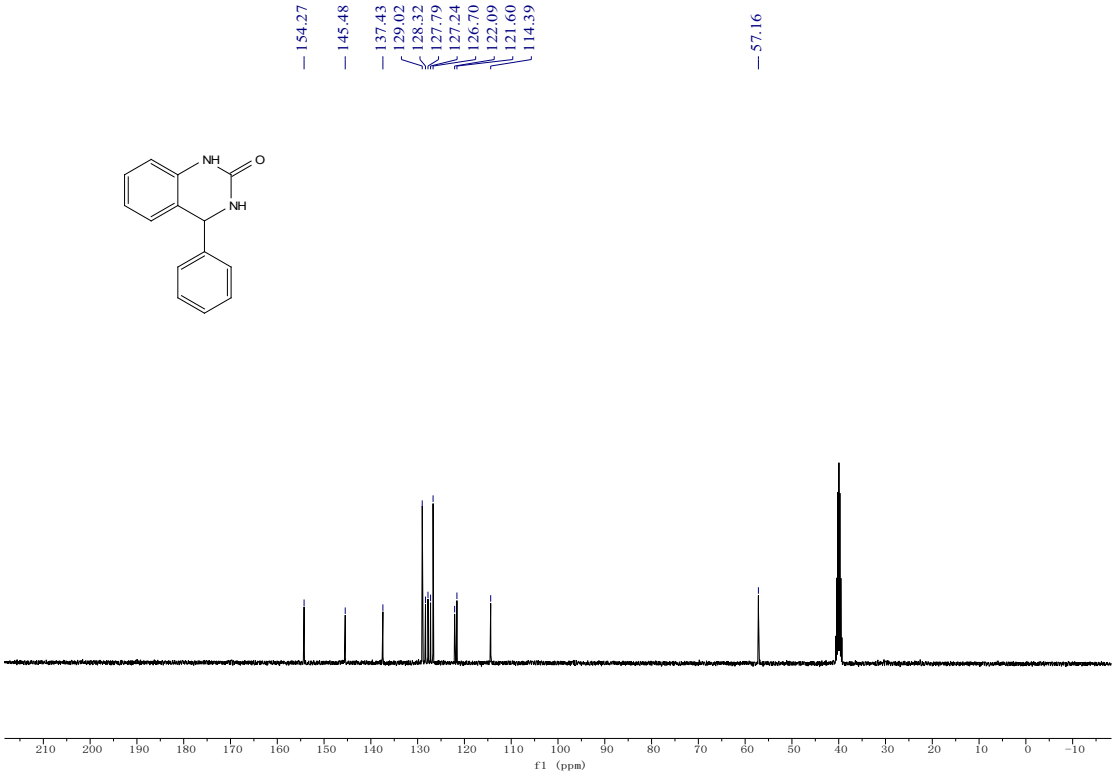


^{13}C NMR spectrum of 6-bromo-3,4-dihydroquinazolin-2(1*H*)-one (2ad)

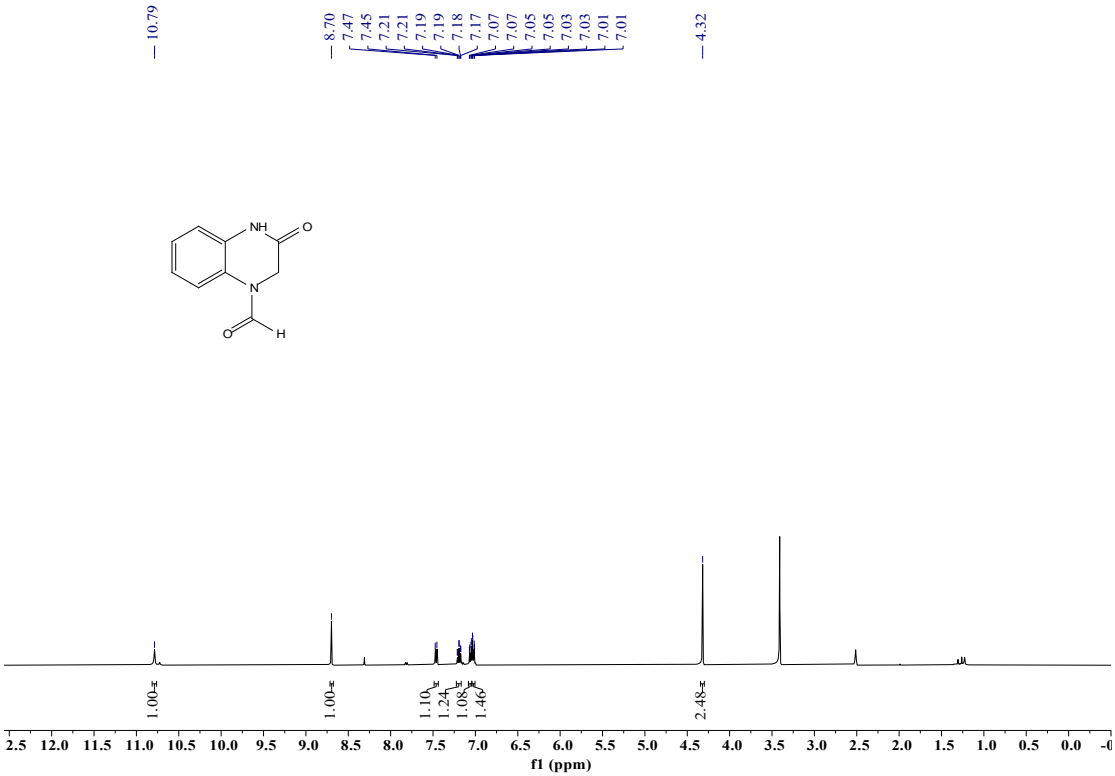


^{1}H NMR spectrum of 4-phenyl-3,4-dihydroquinazolin-2(1*H*)-one (2ae)

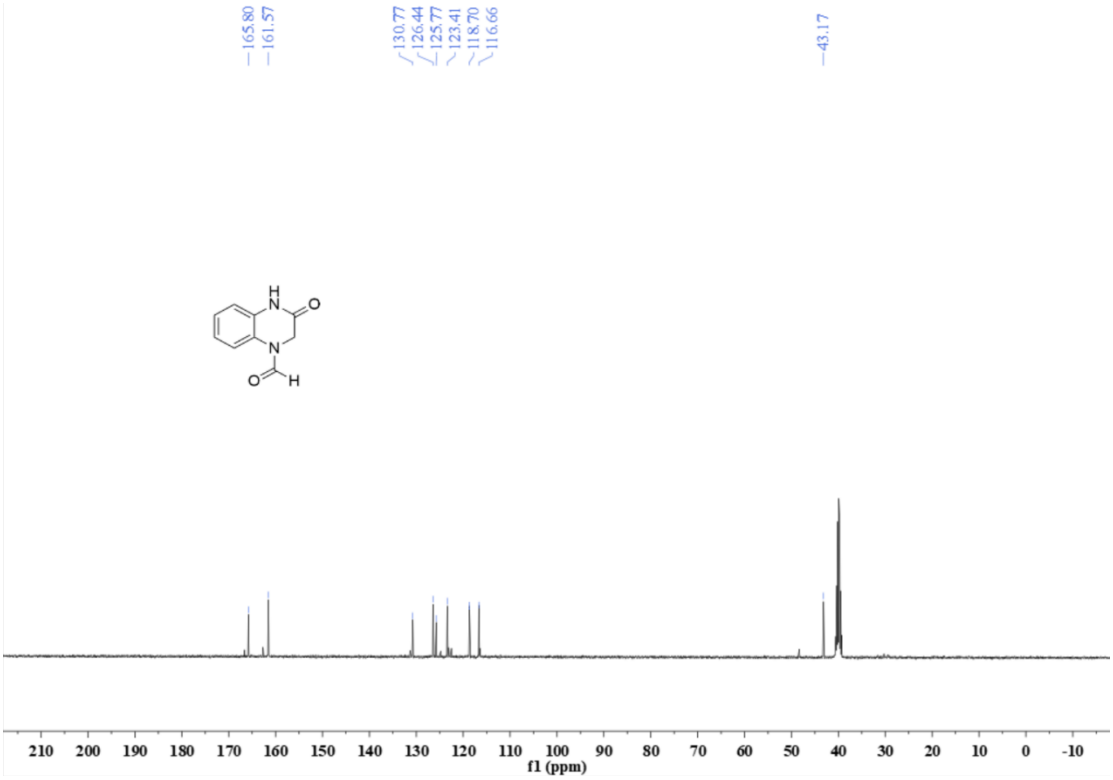
^{13}C NMR spectrum of 4-phenyl-3,4-dihydroquinazolin-2(1*H*)-one (2ae)



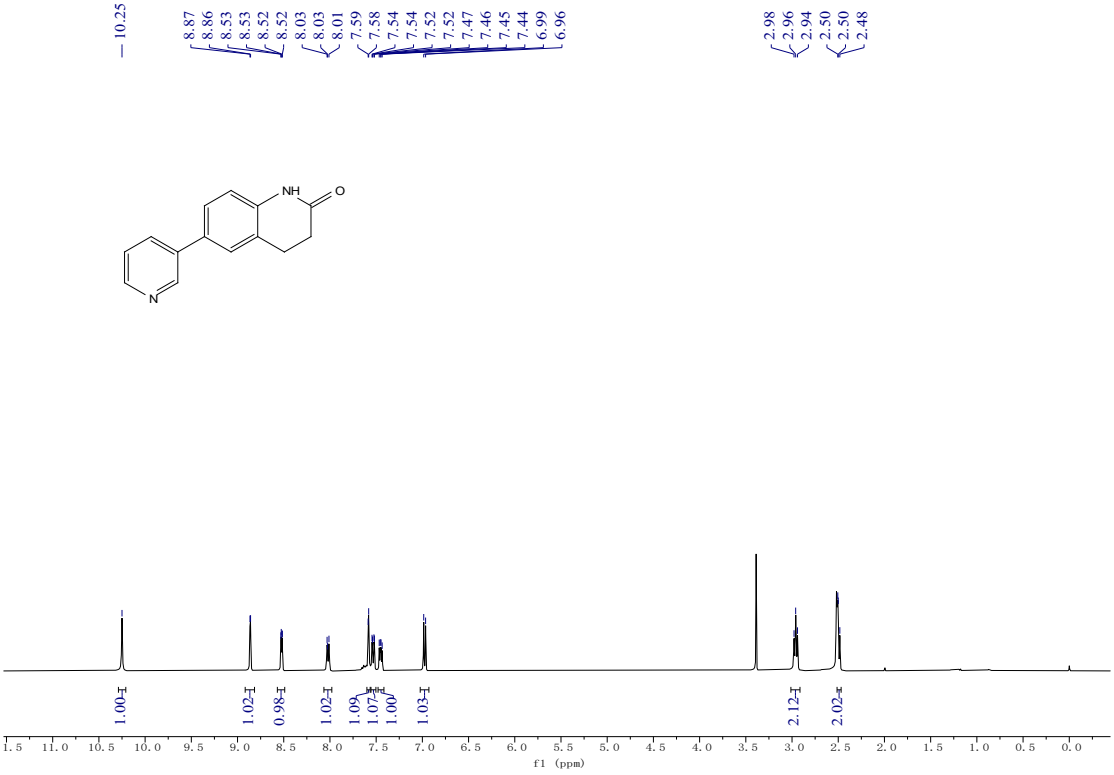
^{1}H NMR spectrum of 3-oxo-3,4-dihydroquinoxaline-1(2*H*)-carbaldehyde (2af)



^{13}C NMR spectrum of 3-oxo-3,4-dihydroquinoxaline-1(2*H*)-carbaldehyde (2af)



^{1}H NMR spectrum of 6-(pyridin-3-yl)-3,4-dihydroquinolin-2(1*H*)-one (3p)



^{13}C NMR spectrum of 6-(pyridin-3-yl)-3,4-dihydroquinolin-2(1*H*)-one (3p)