Supplementary Information

Electrochemical Lactamization with CO_2

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Supplementary notes

Methods

All reactions were performed in standard, oven-dried glassware under an air atmosphe re. Catalytic reactions were carried out in undivided electrochemical cells (15 mL) usi ng pre-dried glassware, if not noted otherwise. Thin layer chromatography (TLC) was performed on silica gel with GF254 indicator. Flash chromatography was performed using Silica gel (200-300 mesh) purchased from Qingdao Haiyang Chemical Co, Chin a. Thin-layer chromatography was used for product detection using silicone plates, aft er ultraviolet irradiation (λ_{ex} = 254 nm), the result was visible.

Materials and reagents

Most chemical reagents such as (2-Aminostyrene; CAS: 3867-18-3), (Potassium vinyltrifluoroborate; CAS: 13682-77-4), and 2-Bromoaniline derivatives were purchased from *J&K* Scientific, TCI, Bidepharm, Energy Chemical, Alfa Aesar, and used as received. The starting organic arenes were obtained from commercial sources or synthesized according to literature methods[1-2]. Anhydrous solvents (diethyl ether, toluene, tetrahydrofuran (THF), dichloromethane (DCM), dimethyl sulfoxide (DMSO), and dimethylformamide (DMF), *N*, *N*-dimethylacetamide (DMA) were purchased from Energy Chemical and *J&K* Scientific and dried using anhydrous $MgSO_4$. Deuterated solvents were purchased from *J&K* Scientific.

Instrumentation

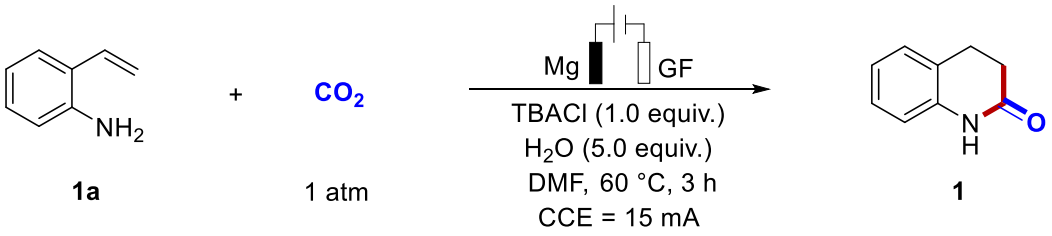
Nuclear magnetic resonance (NMR) spectra were recorded on AVANCE AV 400 or 600 spectrometers. Proton NMR spectra are reported in parts per million (ppm) downfield from tetramethylsilane and are referenced using the NMR solvent ($CDCl_3$: 7.26 ppm, DMSO-d_6: 2.50 ppm). Proton-decoupled ^{13}C NMR spectra are reported in ppm downfield from tetramethylsilane and are referenced using the NMR solvent ($CDCl_3$: 77.00 ppm). ^{19}F NMR spectra are reported in ppm downfield from chlorotrifluoromethane. High-resolution Mass Spectrometry (HRMS) data were acquired by Nankai University of Science Molecule Mass Spectrometry facility.

Graphite felt electrodes (10 mm × 15 mm × 5 mm, Jinglong company, Beijing, China) were connected using stainless steel adapters. Electrocatalysis was conducted using an HSPY-36-03 potentiostat in constant current mode. Cyclic Voltammetry studies were performed using a Shanghai Chenhua CHI760E workstation. Melting points were recorded on Shanghai ShenGuang WRS–2 apparatus. Visualization was achieved under a UV lamp (254 nm and 365 nm).

General remarks

NMR spectra were recorded on Bruker AVANCE AV 400 or 600 in the solvent indicated; using $CDCl_3$ or DMSO-d_6 as the solvent with tetramethylsilane (TMS) as the internal standard at room temperature, chemical shifts are given in ppm relative to the residual solvent peak, coupling constants (J) are reported in Hertz (Hz). Multiplicities are recorded as: s = singlet, d = doublet, t = triplet, dd = doublet of doublets, m = multiplet. Coupling constants are measured in Hertz (Hz). Ar = aryl, Bn = benzyl, Bz = benzoyl, Cbz = benzyloxycarbonyl, DCM = dichloromethane, DMF = dimethylformamide, DMA = *N*, *N*-dimethylacetamide. PE = petroleum ether, EA = ethyl acetate, DCM = dichloromethane. Equiv. = equivalents, EtOAc = ethyl acetate, EtOH = ethanol, g = grams, h = hours, mg = milligrams, Hz = Hertz, ^{i}Pr = isopropyl, ^{i}PrOH = isopropyl alcohol, MeOH = methanol, min = minutes, m/z = mass to charge ratio, ^{t}Bu = *t*-butyl, NMR = nuclear magnetic resonance, Ph = phenyl, ppm = parts per million, THF = tetrahydrofuran, TMS = trimethylsilyl, Ts = para-tolylsulfonyl.

Optimization of the reaction conditions



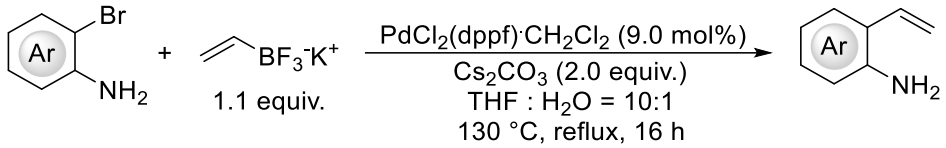
1a 1 atm **1**

Entry	Variation from standard conditions[a]	Yield of **1** (%)
1	**none**	80
2	Al instead of Mg	73
3	Pt instead of GF	Trace
4	TBAB instead of TBACl	52
5	Me_3BnNCl instead of TBACl	58
6	10 mA/20 mA	74/47
7	DMA instead of DMF	72
8	MeCN instead of DMF	58
9	T = 0 ℃/RT/80 ℃	62/63/71
10	2 h/4 h	74/65
11	10 μL H_2O	61
12	20 μL H_2O	75
13	40 μL H_2O	70
14	50 μL H_2O	69
15	No H_2O	46
16	No electricity or CO_2	N.D.

[a] Reaction conditions: undivided cell, constant current = 15.0 mA, alkene (0.3 mmol), CO_2 (1.0 atm), TBACl (1.0 equiv.), H_2O (5.0 equiv.), DMF (5 mL), 60 ℃, 3 h with Mg as anode and graphite felt (GF) as the cathode. DMF = N, N-dimethylformamide, NMR yield.

Preparation of Starting Materials

General procedure A[1]:



Step: To a suspension of potassium vinyltrifluoroborate (5.5 mmol, 1.1 equiv.), Cs_2CO_3 (3.9 g, 12.0 mmol), $PdCl_2(dppf)•CH_2Cl_2$ (0.45 mmol, 9.0 mol%) and the corresponding 2-bromoaniline (5.0 mmol) in THF (30 mL) was added water (3.0 mL). The reaction mixture was stirred under reflux at 130 °C for 16 h, then cooled to room temperature and diluted with water (30 mL) followed by extraction with ether (50 mL × 3). The ethereal solution was washed with brine (50 mL) and dried over $MgSO_4$. The solvent was removed under reduced pressure. The crude product was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate) to give the desired products.

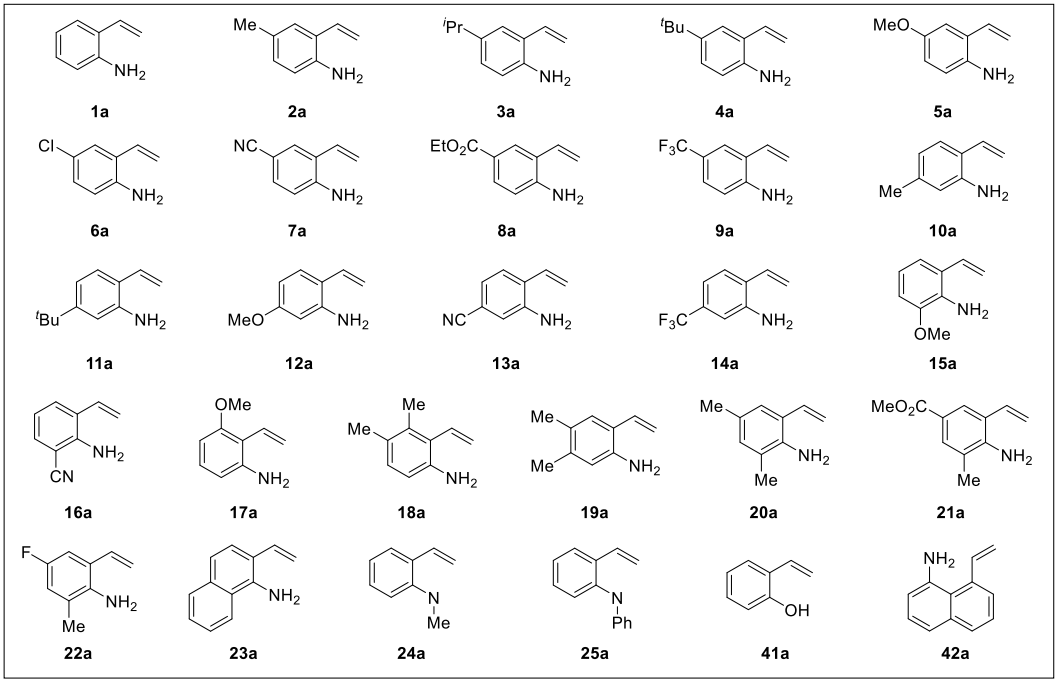
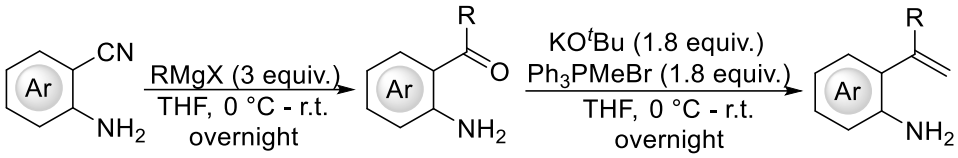


Figure S1. Substrates synthesized according to general procedure **A**

General procedure B[2,].



Step 1: The mixture of the Grignard reagent (3.0 equiv.) in THF (0.5 M) was cooled to 0 ℃, followed by the dropwise addition of 2-aminobenzonitrile (THF solution, 14 equiv.). The resulting mixture was allowed to warm up to room temperature and stirred overnight. Afterwards, the suspension was cooled to 0 ℃, 1M HCl was added and the resulting mixture was vigorously stirred until complete hydrolysis of the corresponding products. Sat. $NaHCO_3$ and EtOAc were added, and the aqueous phase was extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product was purified by column chromatography to give the corresponding amino ketone derivative.

Step 2: The mixture of PPh_3MeBr (1.8 equiv.) in dry THF (0.5 M) was cooled to 0 ℃, followed by the addition of KO^{t}Bu (1.8 equiv.) in two portions over 10 min. The resulting mixture was stirred for another 30 min at room temperature and then re-cooled to 0 ℃ with the addition of the above-obtained amino ketone derivative (1.0 equiv.). The mixture was allowed to warm to room temperature and monitored by TLC. Afterward, sat. $NaHCO_3$ was added to quench the reaction, the mixture was diluted and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product was purified by column chromatography to give the corresponding 2-alkenylaniline.

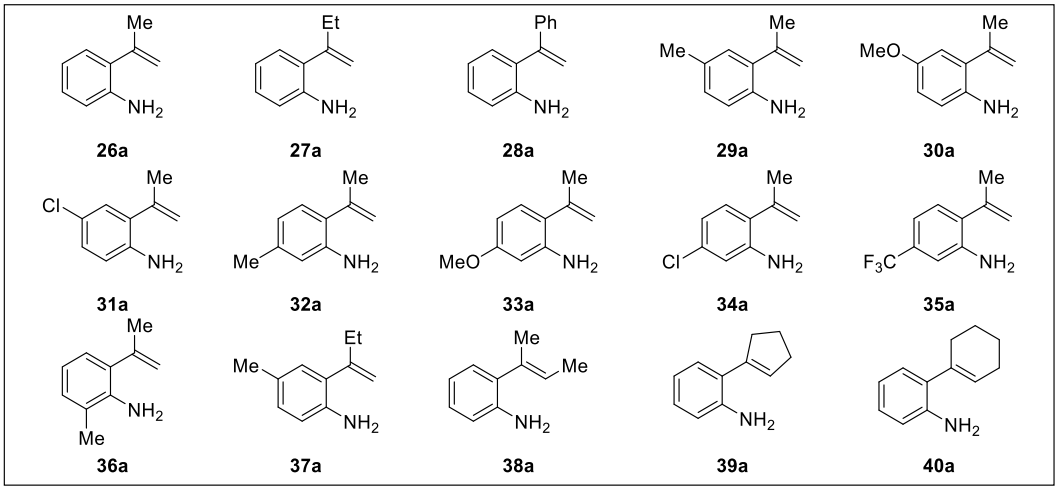
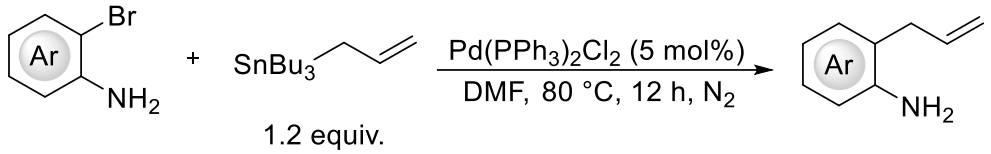


Figure S2. Substrates synthesized according to general procedure **B**

General procedure C[3]**.**



Step: To a solution of 2-bromoaniline (5.0 mmol) and $Pd(PPh_3)_2Cl_2$ (0.25 mmol) in DMF (5 mL) was added allyltributylstannane (6.0 mmol), then the mixture was stirred at 80 ℃ for 12 h. The reaction was quenched with saturated aq. KF (10 mL) and H_2O (40 mL), were then stirred at room temperature for 20 min before filtered and washed with EtOAc (50 mL). The organic layer was separated and the residue was extracted with EtOAc (3 × 30 mL). The combined extract was washed with H_2O (2 × 30 mL) and brine (50 mL), then dried over Na_2SO_4, filtrated, and evaporated under reduced pressure. The residue was purified by column chromatography (petroleum ether/ethyl acetate) to afford the product.

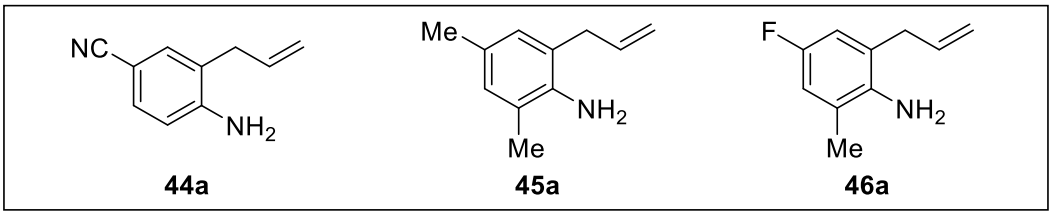
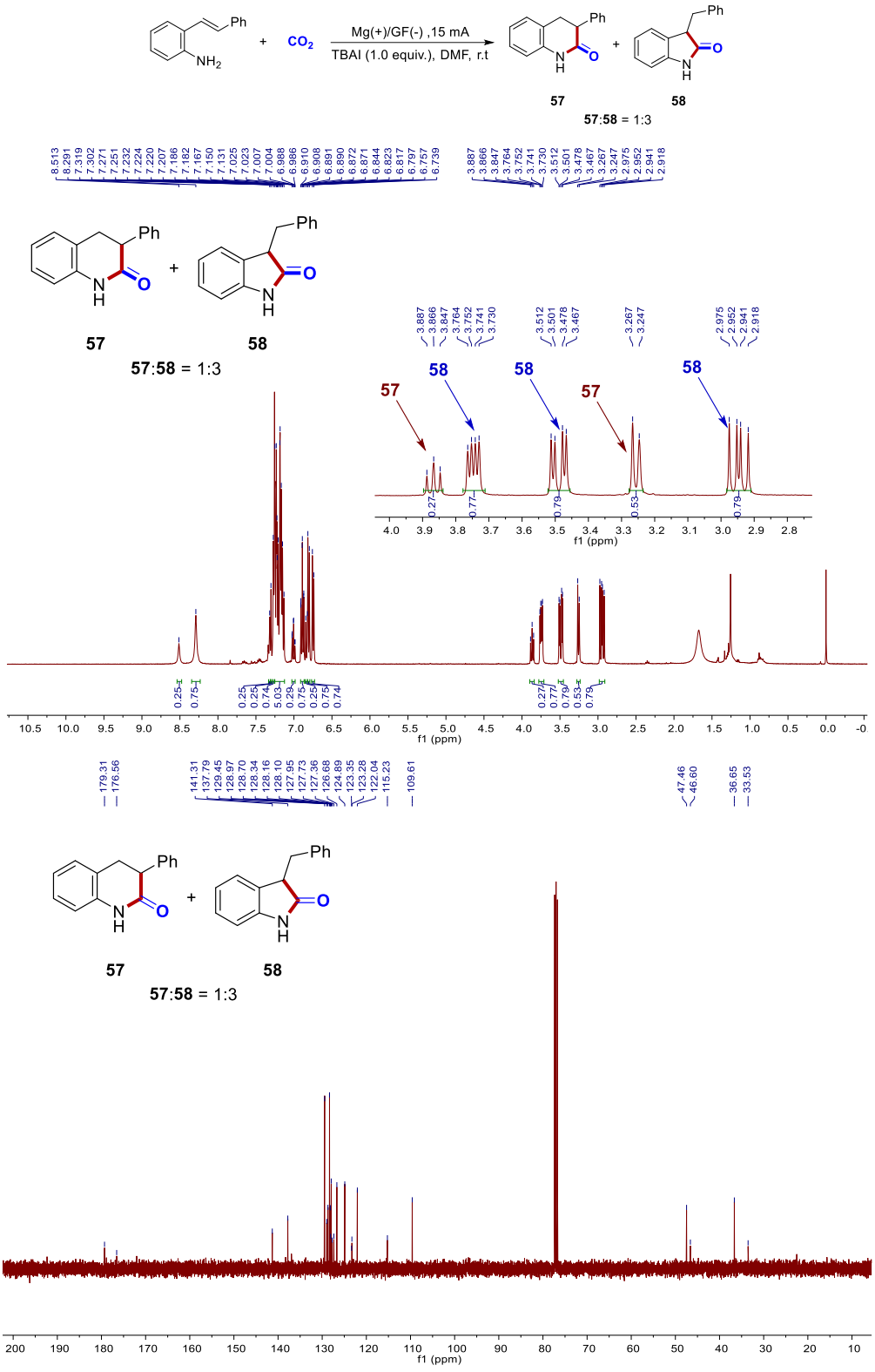


Figure S3. Substrates synthesized according to general procedure **C**

We have detected products containing five-membered rings. An example is shown as follows and we provide the ^{1}H NMR and ^{13}C NMR spectrums to better present of results.



unsuccessful examples:

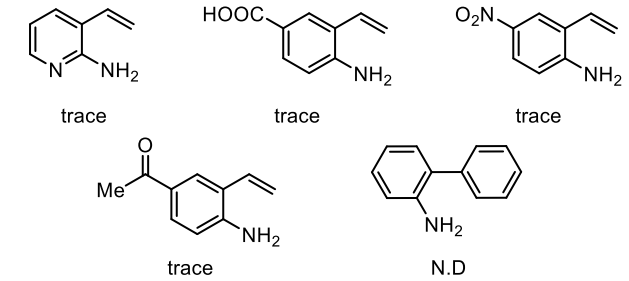


Figure S4. Unsuccessful examples.

Graphical Guide

Pictures of the reaction setups

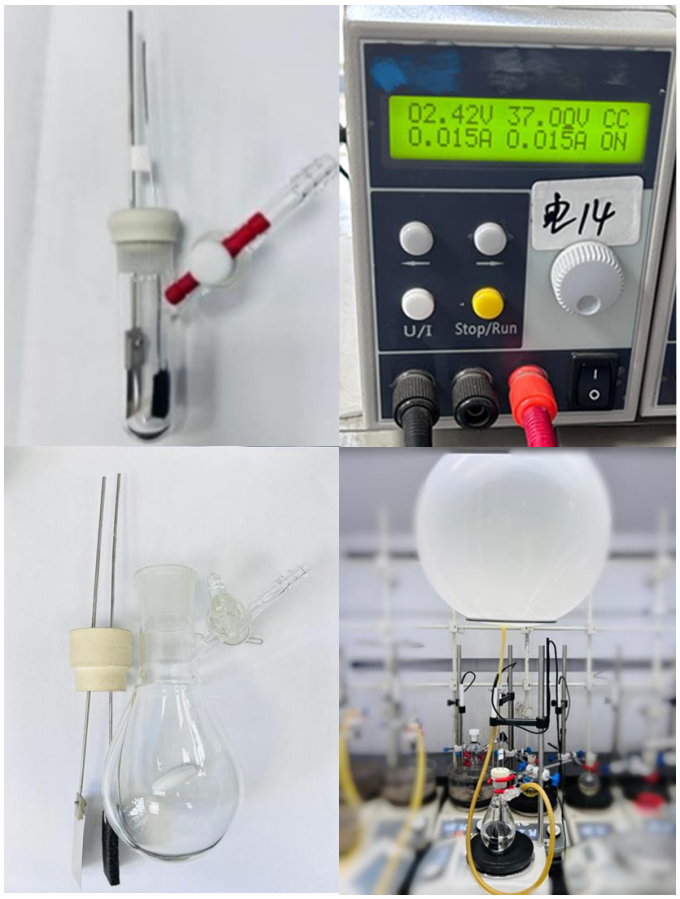
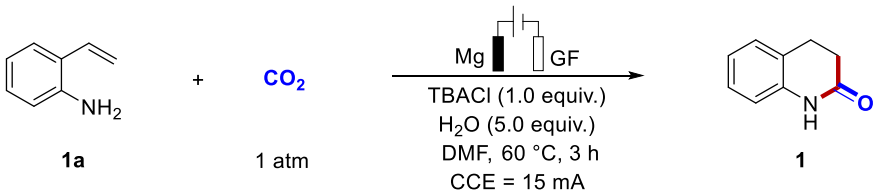


Figure S5. General reaction apparatus.

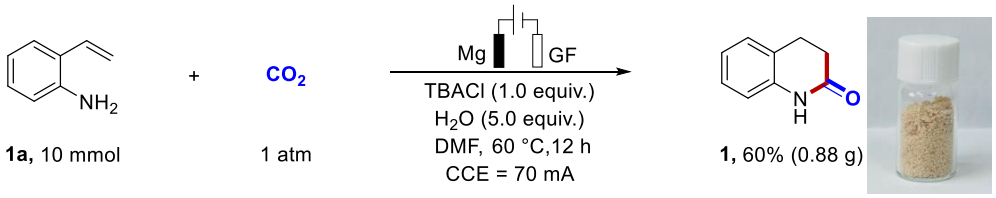
General procedure



General procedure for electrochemical lactamization with CO_2

The electrocatalysis was carried out in an undivided cell with a GF cathode (5.0 mm × 10.0 mm × 20.0 mm) and a Mg plate anode (0.2 mm × 10.0 mm × 20.0 mm). To an oven-dried undivided electrochemical cell (15 mL) equipped with a magnetic bar was added TBACl (0.3 mmol, 1.0 equiv.). Then the tube was evacuated and back-filled under CO_2 flow (this procedure was repeated three times), and 2-alkenylanilines (0.3 mmol, 1.0 equiv.), H_2O (5.0 equiv.), and anhydrous DMF (5.0 mL) were added via syringes. The electrocatalysis was performed at 15.0 mA of constant current for 3 h with a CO_2 balloon at 60 ℃. After that, the reaction mixture was acidized with aqueous HCl (2.0 M). The aqueous layer was extracted with EtOAc (5 × 20 mL) and the combined organic layer was washed with sat. NH_4Cl, dried by anhydrous $MgSO_4$, filtered and concentrated in vacuo. The crude product was purified by column chromatography to furnish the desired product.

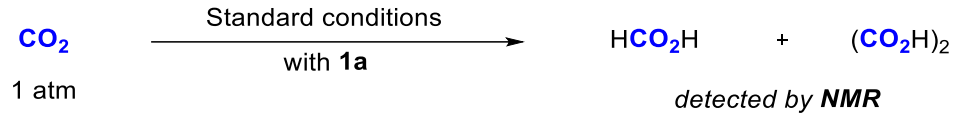
Gram-Scale Reaction



1a, 10 mmol　　1 atm　　**1,** 60% (0.88 g)

The electrocatalysis was carried out in an undivided cell with a GF cathode (5.0 mm × 25.0 mm × 50.0 mm) and a Mg plate anode (0.5 mm × 25.0 mm × 50.0 mm). To an oven-dried undivided electrochemical cell (200 mL) equipped with a magnetic bar was added TBACl (10 mmol, 2.8 g, 1.0 equiv.). Then the tube was evacuated and back-filled under CO_2 flow (this procedure was repeated three times), and 2-alkenylanilines (10 mmol, 1.2g, 1.0 equiv.), H_2O (50 mmol, 0.9 ml, 5.0 equiv.), and anhydrous DMF (60.0 mL) were added. The electrocatalysis was performed at 70.0 mA of constant current for 12 h with a CO_2 balloon at 60 ℃. After that, the reaction mixture was acidized with aqueous HCl (2.0 M). The aqueous layer was extracted with EtOAc (5 × 20 mL) and the combined organic layer was washed with sat. NH_4Cl, dried by anhydrous $MgSO_4$ filtered and concentrated in vacuo. The crude product was purified by column chromatography to furnish the desired product **1** (0.9 g, 60%).

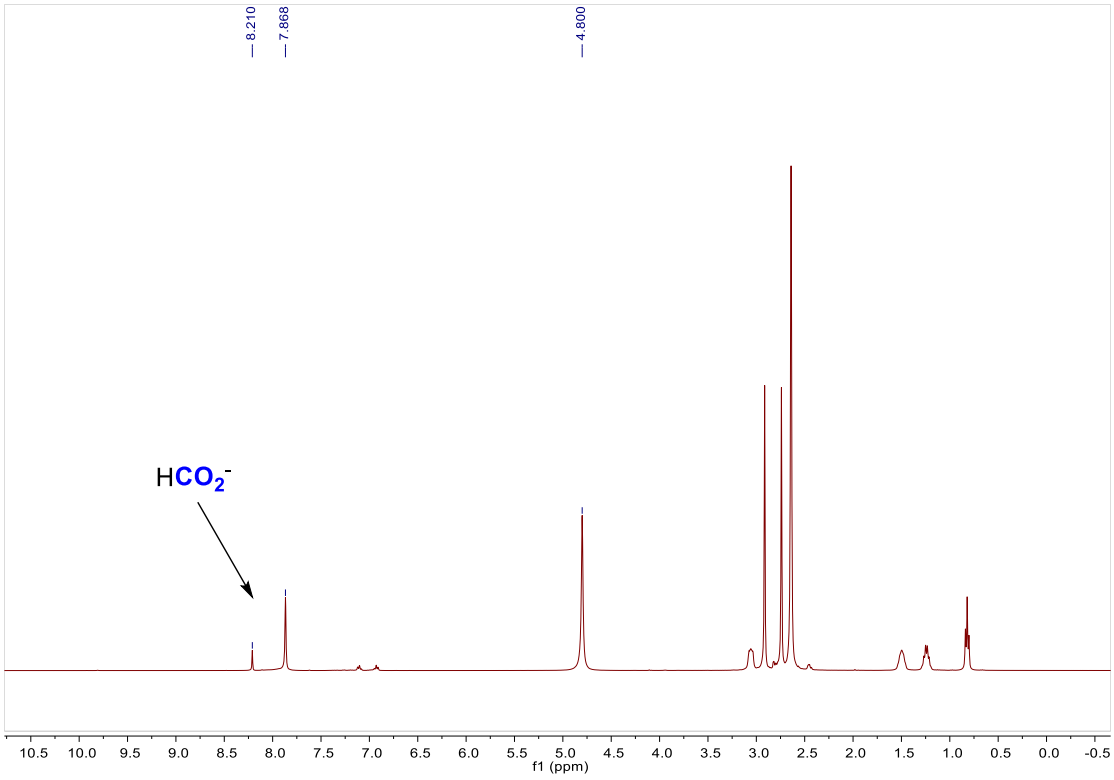
Mechanistic Experiments

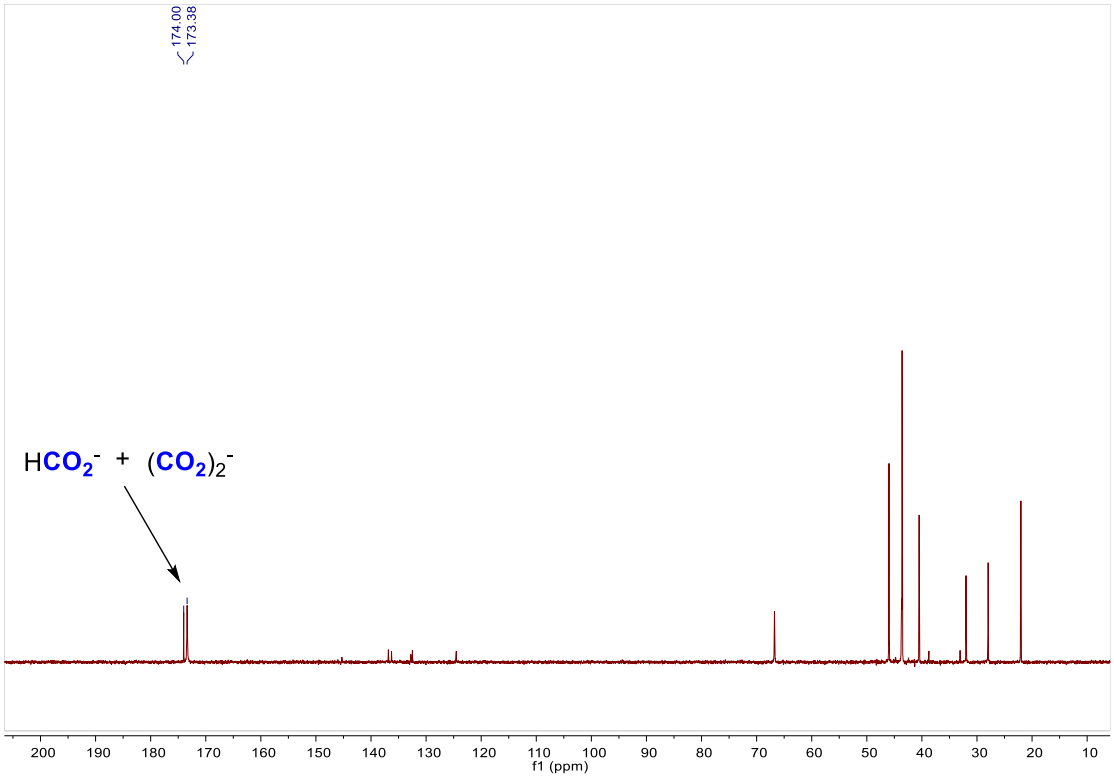


General procedure of investigation on the formation of CO_2 radical anion:

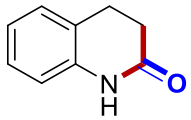
The electrocatalysis was carried out in an undivided cell with a GF cathode (5.0 mm × 10.0 mm × 20.0 mm) and a Mg plate anode (0.2 mm × 10.0 mm × 20.0 mm). To an oven-dried undivided electrochemical cell (15 mL) equipped with a magnetic bar was added TBACl (0.3 mmol, 1.0 equiv.). Then the tube was evacuated and back-filled under CO_2 flow (this procedure was repeated three times), and 2-alkenylanilines (0.3 mmol, 1.0 equiv.), H_2O (5.0 equiv.), and anhydrous DMF (5.0 mL) were added via syringes. The electrocatalysis was performed at 15.0 mA of constant current for 3 h with a CO_2 balloon at 60 ℃. After that, the reaction mixture was acidized with aqueous HCl (2.0 M). The aqueous layer was extracted with EtOAc (1 × 5 mL) combined with the aqueous layer and concentrated in vacuo. After concentrating in vacuo, 1.0 mL D_2O was added to make the mixture dissolve sufficiently. The aqueous layer was analyzed by crude ^1H NMR and ^{13}C NMR. The formic acid and oxalic acid were detected. Therefore, the CO_2 radical anion might be generated through CO_2 reduction on the cathode.

^{1}H NMR and ^{13}C NMR spectrum of **HCO_2H** and **$(CO_2H)_2$**





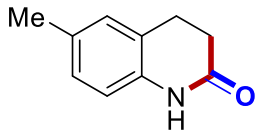
Characterization Data of Products



3,4-dihydroquinolin-2(1H)-one (1)

Compound **1** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **1** (35.3 mg, 80%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.22 (s, 1H), 7.17 (t, *J* = 8.4 Hz, 2H), 6.98 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 7.7 Hz, 1H), 2.97 (t, *J* = 7.6 Hz, 2H), 2.65 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.3, 137.3, 127.9, 127.6, 123.6, 123.1, 115.6, 30.7, 25.3.

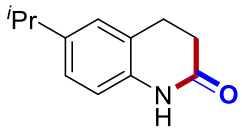
The analytical data corresponds with those reported in the literature.[5]



6-methyl-3,4-dihydroquinolin-2(1H)-one (2)

Compound **2** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **2** (35.8 mg, 74%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.06 (s, 1H), 7.00 – 6.96 (m, 2H), 6.74 (d, *J* = 5.4 Hz, 1H), 2.93 (t, *J* = 6.1 Hz, 2H), 2.63 (t, *J* = 6.0 Hz, 2H), 2.29 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 134.8, 132.6, 128.6, 127.9, 123.5, 115.4, 30.8, 25.4, 20.8.

The analytical data corresponds with those reported in the literature.[5]

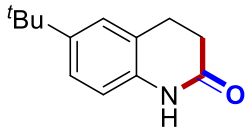


6-isopropyl-3,4-dihydroquinolin-2(1H)-one (3)

Compound **3** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **3** (43.2 mg, 76%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.18 (s, 1H), 7.03 (t, *J* = 1.6 Hz, 2H), 6.78 (d, *J* = 8.0 Hz, 1H), 2.95 (t, *J* = 8.0 Hz, 2H), 2.85 (p, *J* = 6.9 Hz, 1H), 2.64 (t, *J* = 8.0

Hz, 2H), 1.23 (d, J = 6.9 Hz, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 143.8, 135.2, 125.9, 125.4, 123.5, 115.5, 33.6, 30.8, 25.5, 24.1.

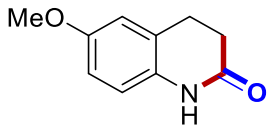
The analytical data corresponds with those reported in the literature.[6]



6-butyl-3,4-dihydroquinolin-2(1H)-one (4)

Compound **4** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **4** (48.8 mg, 80%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.09 (s, 1H), 7.18 (d, J = 8.4 Hz, 2H), 6.78 (d, J = 8.0 Hz, 1H), 2.96 (t, J = 7.6 Hz, 2H), 2.64 (t, J = 7.6 Hz, 2H), 1.30 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 146.1, 134.9, 124.9, 124.3, 123.1, 115.2, 34.3, 31.5, 30.9, 25.7.

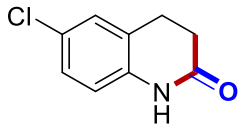
The analytical data corresponds with those reported in the literature.[7]



6-methoxy-3,4-dihydroquinolin-2(1H)-one (5)

Compound **5** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **5** (44.7 mg, 84%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.05 (s, 1H), 6.76 (d, J = 8.3 Hz, 1H), 6.71 (d, J = 7.4 Hz, 2H), 3.77 (s, 3H), 2.93 (t, J = 7.6 Hz, 2H), 2.61 (t, J = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.8, 155.6, 130.9, 125.0, 116. 3, 113.8, 112.4, 55.6, 30.6, 25.7.

The analytical data corresponds with those reported in the literature.[5]

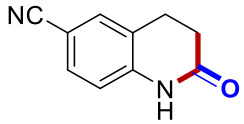


6-chloro-3,4-dihydroquinolin-2(1H)-one (6)

Compound **6** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **6** (32.7 mg, 60%) as a white

solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.76 (s, 1H), 7.12 (t, *J* = 6.8 Hz, 2H), 6.80 (d, *J* = 9.0 Hz, 1H), 2.94 (t, *J* = 7.6 Hz, 2H), 2.62 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-d) δ 172.4, 136.0, 128.0, 127.8, 127.5, 125.2, 116.8, 30.3, 25.2.

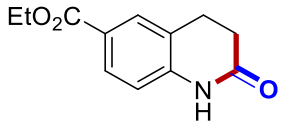
The analytical data corresponds with those reported in the literature.[6]



2-oxo-1,2,3,4-tetrahydroquinoline-6-carbonitrile (7)

Compound **7** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **7** (39.3 mg, 76%) as a white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.51 (s, 1H), 7.66 (s, 1H), 7.62 – 7.60 (m, 1H), 6.97 (d, *J* = 8.3 Hz, 1H), 2.93 (t, *J* = 7.6 Hz, 2H), 2.52 – 2.48 (m, 2H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 170.8, 143.1, 132.2, 132.1, 125.2, 119.7, 116.1, 104.1, 30.2, 24.6.

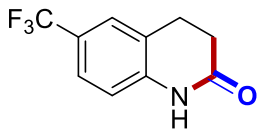
The analytical data corresponds with those reported in the literature.[8]



ethyl 2-oxo-1,2,3,4-tetrahydroquinoline-6-carboxylate (8)

Compound **8** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **8** (47.4 mg, 72%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.24 (s, 1H), 7.87 (d, *J* = 6.8 Hz, 2H), 6.87 (d, *J* = 8.9 Hz, 1H), 4.36 (q, *J* = 7.2 Hz, 2H), 3.02 (t, *J* = 7.6 Hz, 2H), 2.67 (t, *J* = 8.0 Hz, 2H), 1.38 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 166.2, 141.2, 129.5, 125.2, 123.3, 115.2, 60.9, 30.5, 25.1, 14.4.

The analytical data corresponds with those reported in the literature.[11]

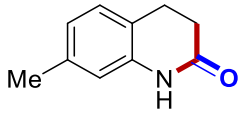


6-(trifluoromethyl)-3,4-dihydroquinolin-2(1H)-one (9)

Compound **9** was prepared following the general procedure, purification by column

chromatography on silica gel (PE/EtOAc = 15:1) yielded **9** (46.5 mg, 72%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.00 (s, 1H), 7.43 (d, *J* = 7.0 Hz, 2H), 6.97 (d, *J* = 8.8 Hz, 1H), 3.03 (t, *J* = 7.6 Hz, 2H), 2.69 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.6, 140.3, 126.4 (q, J_{C-F} = 230.3 Hz), 125.4, 125.0 (q, J_{C-F} = 3.8 Hz), 124.8 (q, J_{C-F} = 3.9 Hz), 123.8, 115.6, 30.2, 25.1.^{19}F NMR (375 MHz, Chloroform-*d*) δ -62.0.

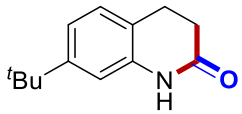
The analytical data corresponds with those reported in the literature.[6]



7-methyl-3,4-dihydroquinolin-2(1H)-one (10)

Compound **10** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **10** (33.9 mg, 70%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.24 (s, 1H), 7.03 (d, *J* = 7.6 Hz, 1H), 6.79 (d, *J* = 7.6 Hz, 1H), 6.67 (s, 1H), 2.92 (t, *J* = 7.6 Hz, 2H), 2.63 (t, *J* = 7.2 Hz, 2H), 2.30 (s, 3H).^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.6, 137.5, 137.2, 127.7, 123.8, 120.6, 116.2, 30.9, 24.9, 21.1.

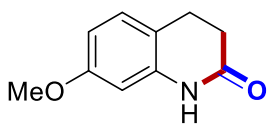
The analytical data corresponds with those reported in the literature.[8]



7-butyl-3,4-dihydroquinolin-2(1H)-one (11)

Compound **11** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **11** (46.4 mg, 76%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.73 (s, 1H), 7.09 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 9.4 Hz, 1H), 6.82 (s, 1H), 2.93 (t, *J* = 7.5 Hz, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 1.30 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.1, 151.1, 137.1, 127.6, 120.8, 120.1, 112.6, 34.6, 31.3, 30.9, 24.9.

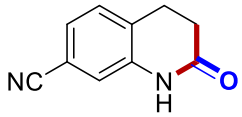
The analytical data corresponds with those reported in the literature.[9]



7-methoxy-3,4-dihydroquinolin-2(1H)-one (12)

Compound **12** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **12** (33.0 mg, 62%) as a brown solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.73 (s, 1H), 7.04 (d, *J* = 8.4 Hz, 1H), 6.52 (dd, *J* = 8.4, 2.0 Hz, 1H), 6.39 (d, *J* = 2.0 Hz, 1H), 3.77 (s, 3H), 2.89 (t, *J* = 7.6 Hz, 2H), 2.61 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 159.2, 138.3, 128.6, 115.8, 108.2, 101.7, 55.5, 31.1, 24.6.

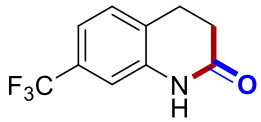
The analytical data corresponds with those reported in the literature.[5]



2-oxo-1,2,3,4-tetrahydroquinoline-7-carbonitrile (13)

Compound **13** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **13** (27.9 mg, 54%) as a yellow solid. ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.37 (s, 1H), 7.43 – 7.39 (m, 2H), 7.19 (d, *J* = 1.6 Hz, 1H), 3.00 (t, *J* = 7.6 Hz, 2H), 2.54 – 2.52 (m, 2H). ^{13}C NMR (150 MHz, DMSO-*d*$_6$) δ 172.4, 138.7, 130.5, 129.6, 127.0, 119.3, 118.2, 109.9, 29.6, 25.0.

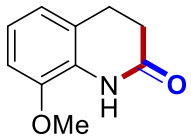
The analytical data corresponds with those reported in the literature.[8]



7-(trifluoromethyl)-3,4-dihydroquinolin-2(1H)-one (14)

Compound **14** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **14** (36.2 mg, 56%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.84 (s, 1H), 7.30 – 7.25 (m, 2H), 7.13 (s, 1H), 3.05 (t, *J* = 7.6 Hz, 2H), 2.71 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.43, 137.9, 130.2 (q, $J_{C\text{-}F}$ = 3.6 Hz), 128.36, 127.47, 126.8(q, $J_{C\text{-}F}$ = 250.1 Hz), 119.75 (q, $J_{C\text{-}F}$ = 4.0 Hz), 112.39 (q, $J_{C\text{-}F}$ = 3.6 Hz), 30.19, 25.24. ^{19}F NMR (375 MHz, Chloroform-*d*) δ -62.6.

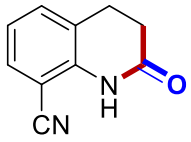
The analytical data corresponds with those reported in the literature.[8]



8-methoxy-3,4-dihydroquinolin-2(1H)-one (15)

Compound **15** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **15** (37.2 mg, 70%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.77 (s, 1H), 6.94 (t, *J* = 7.9 Hz, 1H), 6.79 – 6.76 (m, 2H), 3.86 (s, 3H), 2.96 (t, *J* = 7.6 Hz, 2H), 2.62 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 170.3, 145.8, 126.4, 124.0, 122.7, 119.9, 109.0, 55.8, 30.6, 25.4.

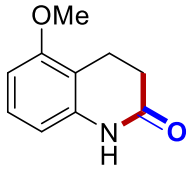
The analytical data corresponds with those reported in the literature.[10]



2-oxo-1,2,3,4-tetrahydroquinoline-8-carbonitrile (16)

Compound **16** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **16** (37.2 mg, 72%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.05 (s, 1H), 7.45 – 7.38 (m, 2H), 7.04 (t, *J* = 7.6 Hz, 1H), 3.01 (t, *J* = 7.6 Hz, 2H), 2.66 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.2, 140.2, 132.7, 130.8, 124.9, 123.0, 115.7, 98.5, 30.3, 25.3.

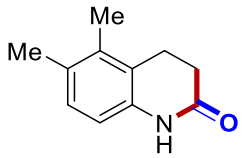
HR-MS (ESI) m/z calc. for $C_{10}H_8N_2O$ $[M+H]^+$: 173.0709, found: 173.0713.



5-methoxy-3,4-dihydroquinolin-2(1H)-one (17)

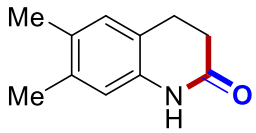
Compound **17** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **17** (29.2 mg, 55%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.65 (s, 1H), 7.12 (t, *J* = 8.1 Hz, 1H), 6.57 (d, *J* = 8.3 Hz, 1H), 6.45 (d, *J* = 7.9 Hz, 1H), 3.83 (s, 3H), 2.95 (t, *J* = 7.7 Hz, 2H), 2.60 (t, *J* = 7.7 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.9, 156.9, 138.3, 128.0, 111.7, 108.4, 105.4, 55.6, 30.2, 18.5.

The analytical data corresponds with those reported in the literature.[10]



5,6-dimethyl-3,4-dihydroquinolin-2(1H)-one (18)

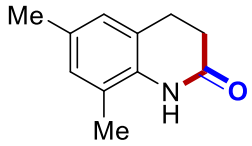
Compound **18** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **18** (47.8 mg, 91%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.65 (s, 1H), 6.85 (s, 2H), 2.91 (t, *J* = 7.6 Hz, 2H), 2.60 (t, *J* = 7.4 Hz, 2H), 2.26 (s, 3H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.4, 133.1, 132.2, 129.6, 126.4, 123.7, 122.6, 30.9, 25.7, 20.6, 16.6. HR-MS (ESI) m/z calc. for $C_{11}H_{13}NO$ $[M+H]^+$: 176.1070, found: 176.1075.



6,7-dimethyl-3,4-dihydroquinolin-2(1H)-one (19)

Compound **19** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **19** (36.8 mg, 70%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (s, 1H), 6.92 (s, 1H), 6.59 (s, 1H), 2.90 (t, *J* = 7.5 Hz, 2H), 2.61(t, *J* = 7.6 Hz, 2H) 2.20 (d, *J* = 5.3 Hz, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.9, 135.8, 135.0, 131.2, 129.1, 120.8, 116.6, 31.1, 25.0, 19.4, 19.0.

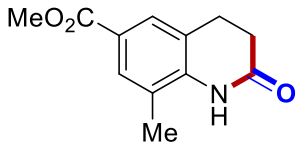
The analytical data corresponds with those reported in the literature.[13]



6,8-dimethyl-3,4-dihydroquinolin-2(1H)-one (20)

Compound **20** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **20** (47.3 mg, 90%) as a brown solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 (s, 1H), 6.84 (d, *J* = 5.6 Hz, 2H), 2.90 (t, *J* = 7.6 Hz, 2H), 2.60 (t, *J* = 7.6 Hz, 2H), 2.26 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.6, 133.1, 132.2, 129.6, 126.3, 123.8, 122.9, 30.9, 25.7, 20.7, 16.8.

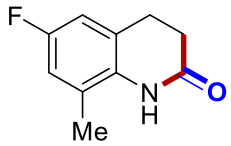
The analytical data corresponds with those reported in the literature.[8]



methyl 8-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-carboxylate (21)

Compound **21** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **21** (51.3 mg, 78%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (s, 1H), 7.72 (d, *J* = 10.2 Hz, 2H), 3.87 (s, 3H), 2.98 (t, *J* = 7.2 Hz, 2H), 2.63 (t, *J* = 7.2 Hz, 2H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.6, 166.7, 139.7, 130.7, 127.3, 124.2, 123.5, 123.0, 52.0, 30.6, 25.5, 16.9.

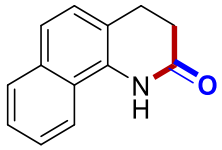
HR-MS (ESI) m/z calc. for $C_{12}H_{13}NO_3$ $[M+H]^+$: 220.0968, found: 220.0974.



6-fluoro-8-methyl-3,4-dihydroquinolin-2(1H)-one (22)

Compound **22** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **22** (45.7 mg, 85%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.40 (s, 1H), 6.76 – 6.71 (m, 2H), 2.91 (t, *J* = 7.2 Hz, 2H), 2.59 (t, *J* = 7.2 Hz, 2H), 2.26 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.6, 158.1 (d, $J_{C\text{-}F}$ = 241.5 Hz), 131.8 (d, $J_{C\text{-}F}$ = 2.5 Hz), 125.64 (d, $J_{C\text{-}F}$ = 8.0 Hz), 125.14 (d, $J_{C\text{-}F}$ = 8.0 Hz), 115.4 (d, $J_{C\text{-}F}$ = 22.4 Hz). 112.37 (d, $J_{C\text{-}F}$ = 22.8 Hz), 30.5, 25.86, 17.09. ^{19}F NMR (375 MHz, Chloroform-*d*) δ -121.2.

HR-MS (ESI) m/z calc. for $C_{10}H_{10}FNO$ $[M+H]^+$: 180.0819, found: 180.0822.

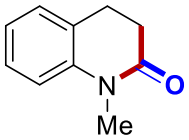


3,4-dihydrobenzo[h]quinolin-2(1H)-one (23)

Compound **23** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **23** (24.8 mg, 42%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.10 (s, 1H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.83

(d, J = 7.6 Hz, 1H), 7.58 – 7.47 (m, 3H), 7.29 (d, J = 8.4 Hz, 1H), 3.13 (t, J = 7.6 Hz, 2H), 2.77 (, J = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.1, 133.1, 132.0, 128.7, 126.5, 126.1, 125.8, 123.0, 122.4, 119.5, 119.4, 31.0, 26.0.

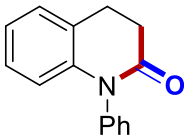
The analytical data corresponds with those reported in the literature.[1]



1-methyl-3,4-dihydroquinolin-2(1H)-one (24)

Compound **24** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **24** (39.2 mg, 81%) as a yellow oil liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.28 – 7.24 (m, 1H), 7.17 (d, J = 7.2 Hz, 1H), 7.03 – 6.97 (m, 2H), 3.36 (s, 3H), 2.91 (t, J = 8.0 Hz, 2H), 2.65 (t, J = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.5, 140.6, 127.7, 127.4, 126.2, 122.8, 114.7, 31.7, 29.5, 25.4.

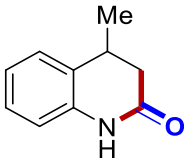
The analytical data corresponds with those reported in the literature.[6]



1-phenyl-3,4-dihydroquinolin-2(1H)-one (25)

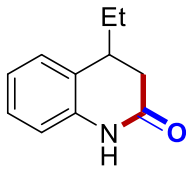
Compound **25** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **25** (42.2 mg, 63%) as a brown solid. ^{1}H NMR (600 MHz, Chloroform-*d*) δ 7.50 (t, J = 7.6 Hz, 2H), 7.42 (t, J = 7.2 Hz, 1H), 7.22 (dd, J = 17.2, 7.6 Hz, 3H), 7.03 (t, J = 7.6 Hz, 1H), 6.98 (t, J = 7.2 Hz, 1H), 6.35 (d, J = 8.0 Hz, 1H), 3.07 (t, J = 7.4 Hz, 2H), 2.83 (t, J = 8.0 Hz, 2H). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 170.3, 141.7, 138.5, 129.9, 129.1, 128.2, 127.8, 127.2, 125.7, 123.0, 117.1, 32.3, 25.7.

The analytical data corresponds with those reported in the literature.[6]



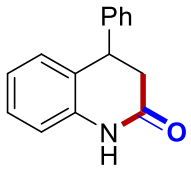
4-methyl-3,4-dihydroquinolin-2(1H)-one (26)

Compound **26** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **26** (36.8 mg, 76%) as a yellow solid. ^{1}H NMR (600 MHz, Chloroform-*d*) δ 9.52 (s, 1H), 7.20 – 7.16 (m, 2H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.88 (d, *J* = 7.7 Hz, 1H), 3.13 (h, *J* = 6.5 Hz, 1H), 2.74 (dd, *J* = 16.1, 5.8 Hz, 1H), 2.44 (dd, *J* = 16.1, 7.2 Hz, 1H), 1.31 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 172.0, 136.6, 128.7, 127.5, 126.5, 123.3, 115.8, 38.4, 30.7, 19.8. The analytical data corresponds with those reported in the literature.[14]



4-ethyl-3,4-dihydroquinolin-2(1H)-one (27)

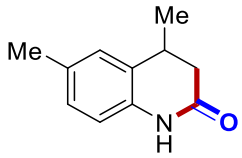
Compound **27** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **27** (36.8 mg, 70%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.56 (s, 1H), 7.22 – 7.16 (m, 2H), 7.03 (t, *J* = 7.4 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 2.90 – 2.78 (m, 2H), 2.59 (dd, *J* = 15.9, 3.7 Hz, 1H), 1.75 – 1.57 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.0, 136.6, 127.9, 127.5, 127.4, 123.0, 115.9, 37.9, 35.9, 27.1, 11.4. The analytical data corresponds with those reported in the literature.[14]



4-phenyl-3,4-dihydroquinolin-2(1H)-one (28)

Compound **28** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **28** (57.6 mg, 86%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.29 (s, 1H), 7.34 (t, *J* = 7.2 Hz, 2H), 7.28 (d, *J* = 7.2 Hz, 1H), 7.23 – 7.18 (m, 3H), 6.97 (t, *J* = 7.4 Hz, 1H), 6.93 – 6.90 (m, 2H), 4.31 (t, *J* = 7.4 Hz, 1H), 2.96 – 2.94 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.2, 141.5, 137.1, 128.9, 128.4, 128.0, 127.9, 127.2, 126.7, 123.4, 115.8, 42.0, 38.4.

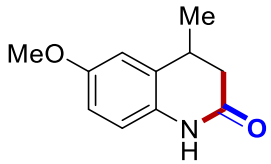
The analytical data corresponds with those reported in the literature.[6]



4,6-dimethyl-3,4-dihydroquinolin-2(1H)-one (29)

Compound **29** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **29** (34.7 mg, 66%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.44 (s, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.79 (d, *J* = 7.6 Hz, 1H), 3.18 – 3.07 (m, 1H), 2.83 – 2.71 (m, 1H), 2.50 – 2.42 (m, 1H), 2.38 – 2.33 (m, 3H), 1.32(d, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.8, 134.1, 132.8, 128.6, 127.9, 127.1, 115.7, 38.5, 30.8, 20.9, 19.9.

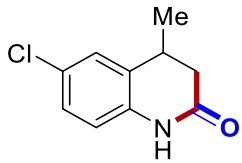
The analytical data corresponds with those reported in the literature.[14]



6-methoxy-4-methyl-3,4-dihydroquinolin-2(1H)-one (30)

Compound **30** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **30** (34.4 mg, 60%) as a brown solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.33 (s, 1H), 6.83 – 6.76 (m, 2H), 6.73 – 6.70 (m, 1H), 3.79 (s, 3H), 3.13 – 3.05 (m, 1H), 2.71 (ddd, *J* = 16.1, 5.8, 2.6 Hz, 1H), 2.40 (ddd, *J* = 16.1, 7.2, 2.7 Hz, 1H), 1.31 (dd, *J* = 6.9, 2.7 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.4, 155.9, 130.2, 130.1, 116.5, 112.7, 112.1, 55.6, 38.3, 31.0, 19.7.

The analytical data corresponds with those reported in the literature.[14]

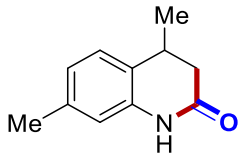


6-chloro-4-methyl-3,4-dihydroquinolin-2(1H)-one (31)

Compound **31** was prepared following the general procedure, purification by column

chromatography on silica gel (PE/EtOAc = 15:1) yielded **31** (32.3 mg, 55%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.38 (s, 1H), 7.18 – 7.13 (m, 2H), 6.80 (d, *J* = 8.3 Hz, 1H), 3.11 (q, *J* = 6.8 Hz, 1H), 2.72 (dd, *J* = 16.1, 5.9 Hz, 1H), 2.42 (dd, *J* = 16.2, 7.4 Hz, 1H), 1.31 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.5, 135.2, 130.4, 128.3, 127.5, 126.7, 116.9, 38.0, 30.7, 19.6.

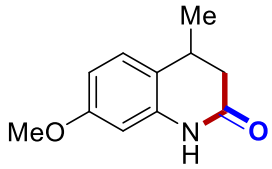
The analytical data corresponds with those reported in the literature.[14]



4,7-dimethyl-3,4-dihydroquinolin-2(1H)-one (32)

Compound **32** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **32** (25.2 mg, 48%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.16 (s, 1H), 7.11 (d, *J* = 7.7 Hz, 1H), 6.87 (d, *J* = 7.6 Hz, 1H), 6.71 (s, 1H), 3.13 (h, *J* = 6.9 Hz, 1H), 2.76 (dd, *J* = 16.1, 5.9 Hz, 1H), 2.45 (dd, *J* = 16.1, 7.3 Hz, 1H), 2.34 (s, 3H), 1.33 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.8, 137.5, 136.4, 126.4, 125.8, 124.0, 116.3, 38.6, 30.4, 21.0, 19.9.

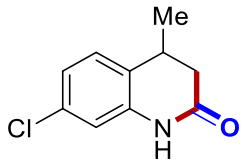
The analytical data corresponds with those reported in the literature.[14]



7-methoxy-4-methyl-3,4-dihydroquinolin-2(1H)-one (33)

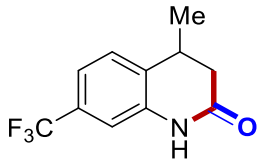
Compound **33** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **33** (22.9 mg, 40%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.04 (s, 1H), 7.09 (d, *J* = 8.4 Hz, 1H), 6.57 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.41 (d, *J* = 2.4 Hz, 1H), 3.79 (s, 3H), 3.08 (h, *J* = 6.8 Hz, 1H), 2.71 (dd, *J* = 16.1, 5.8 Hz, 1H), 2.40 (dd, *J* = 16.1, 7.5 Hz, 1H), 1.28 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.8, 159.2, 137.5, 127.3, 121.0, 108.5, 101.8, 55.5, 38.7, 30.0, 20.0.

The analytical data corresponds with those reported in the literature.[14]



7-chloro-4-methyl-3,4-dihydroquinolin-2(1H)-one (34)

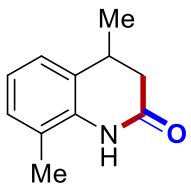
Compound **34** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **34** (29.3 mg, 50%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.96 (s, 1H), 7.18 (d, *J* = 7.8 Hz, 1H), 7.02 (t, *J* = 7.2 Hz, 1H), 6.84 (d, *J* = 7.6 Hz, 1H), 3.14 (h, *J* = 6.9 Hz, 1H), 2.74 (dd, *J* = 16.0, 6.0 Hz, 1H), 2.44 (dd, *J* = 16.0, 7.2 Hz, 1H), 1.32 (d, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.2, 136.4, 128.8, 127.5, 126.6, 123.4, 115.5, 38.4, 30.8, 19.8. The analytical data corresponds with those reported in the literature.[14]



4-methyl-7-(trifluoromethyl)-3,4-dihydroquinolin-2(1H)-one (35)

Compound **35** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **35** (20.6 mg, 30%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.40 (s, 1H), 7.48 – 7.42 (m, 2H), 7.24 (s, 1H), 3.36 (h, *J* = 6.9 Hz, 1H), 2.93 (dd, *J* = 16.3, 5.9 Hz, 1H), 2.64 (dd, *J* = 16.3, 7.1 Hz, 1H), 1.50 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.4, 137.1, 132.5, 130.1 (q, $J_{C\text{-}F}$ = 33.0 Hz), 127.1, 123.8 (q, $J_{C\text{-}F}$ = 270.0 Hz), 120.06 (q, $J_{C\text{-}F}$ = 3.8 Hz), 112.44 (q, $J_{C\text{-}F}$ = 3.6 Hz), 37.8, 30.8, 19.6. ^{19}F NMR (375 MHz, Chloroform-*d*) δ -62.6.

The analytical data corresponds with those reported in the literature.[14]

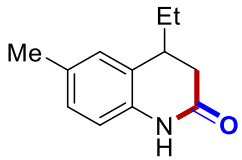


4,8-dimethyl-3,4-dihydroquinolin-2(1H)-one (36)

Compound **36** was prepared following the general procedure, purification by column

chromatography on silica gel (PE/EtOAc = 15:1) yielded **36** (39.4 mg, 75%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (s, 1H), 7.24 (t, *J* = 7.9 Hz, 2H), 7.13 (t, *J* = 7.5 Hz, 1H), 3.31 (h, *J* = 6.8 Hz, 1H), 2.91 (dd, *J* = 16.0, 5.7 Hz, 1H), 2.61 (dd, *J* = 15.9, 6.9 Hz, 1H), 2.43 (s, 3H), 1.49 (d, *J* = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.8, 134.6, 129.1, 128.8, 124.5, 122.9, 122.8, 38.3, 31.1, 19.8, 16.8.

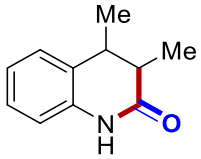
The analytical data corresponds with those reported in the literature.[14]



4-ethyl-6-methyl-3,4-dihydroquinolin-2(1H)-one (37)

Compound **37** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **37** (43.2 mg, 76%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.51 (s, 1H), 6.96 (t, *J* = 7.6 Hz, 2H), 6.78 (d, *J* = 7.8 Hz, 1H), 2.82 – 2.72 (m, 2H), 2.54 (dd, *J* = 15.6, 3.3 Hz, 1H), 2.30 (s, 3H), 1.70 – 1.52 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.9, 134.1, 132.4, 128.5, 128.0, 127.3, 115.8, 37.9, 35.9, 27.1, 20.9, 11.4.

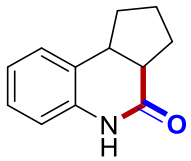
The analytical data corresponds with those reported in the literature.[15]



(3R)-3,4-dimethyl-3,4-dihydroquinolin-2(1H)-one (38)

Compound **38** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **38** (27.3 mg, 52%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.62 (s, 1H), 7.19 (t, *J* = 7.6 Hz, 2H), 7.02 (t, *J* = 7.2 Hz, 1H), 6.81 (d, *J* = 7.8 Hz, 1H), 2.81 – 2.75 (m, 1H), 2.53 – 2.47 (m, 1H), 1.27 (d, *J* = 7.2 Hz, 3H), 1.20 (d, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 174.5, 135.5, 128.0, 127.7, 127.4, 123.4, 115.4, 42.6, 38.4, 20.4, 16.1.

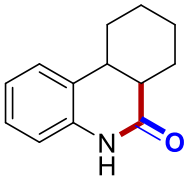
The analytical data corresponds with those reported in the literature.[16]



(3aR)-1,2,3,3a,5,9b-hexahydro-4H-cyclopenta[c]quinolin-4-one (39)

Compound **39** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **39** (31.5 mg, 56%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.60 (d, *J* = 126.6 Hz, 1H), 7.28 – 7.16 (m, 2H), 7.09 – 7.03 (m, 1H), 6.89 (dd, *J* = 23.7, 7.9 Hz, 1H), 3.31 (q, *J* = 8.5 Hz, 0.2H) 3.02 – 2.90 (m, 1H), 2.45 – 2.32 (m, 1.8H), 2.19 – 2.11 (m, 1H), 2.05 – 1.93 (m, 2H), 1.87 – 1.69 (m, 2H).

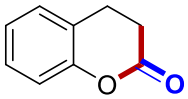
HR-MS (ESI) m/z calc. for $C_{12}H_{13}NO$ $[M+H]^+$: 188.1070, found: 188.1068.



(6aR)-6a,7,8,9,10,10a-hexahydrophenanthridin-6(5H)-one (40)

Compound **40** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **40** (34.4 mg, 57%) as a brown solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.42 (s, 1H), 7.28 – 7.18 (m, 2H), 7.10 – 7.02 (m, 1H), 6.84 – 6.80 (m, 1H), 3.02 – 2.97 (m, 0.23H), 2.86 – 2.83 (m, 0.23H), 2.69 – 2.62 (m, 0.76H), 2.56 – 2.51 (m, 0.74H), 2.49 – 2.08 (m, 2H), 2.03 – 1.85 (m, 2H), 1.64 – 1.36 (m, 4H).

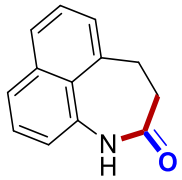
The analytical data corresponds with those reported in the literature.[14]



chroman-2-one (41)

Compound **41** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **41** (21.3 mg, 48%) as a white liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.27 (t, *J* = 8.4 Hz, 1H), 7.22 (d, *J* = 7.2 Hz, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 8.1 Hz, 1H), 3.02 (t, *J* = 7.3 Hz, 2H), 2.80 (t, *J* = 7.8 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 152.0, 128.3, 128.1, 124.4, 122.7, 116.9, 29.2, 23.7.

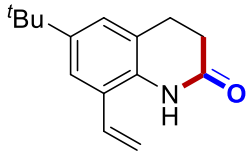
The analytical data corresponds with those reported in the literature.[20]



3,4-dihydronaphtho[1,8-bc]azepin-2(1H)-one (42)

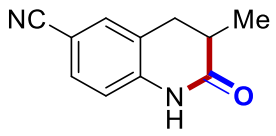
Compound **42** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **42** (26.6 mg, 45%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.77 (s, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.61 (d, *J* = 8.1 Hz, 1H), 7.42 – 7.34 (m, 2H), 7.25 (d, *J* = 4.8 Hz, 1H), 7.10 (d, *J* = 7.4 Hz, 1H), 3.41 (d, *J* = 9.8 Hz, 2H), 2.93 (d, *J* = 10.5 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 176.4, 136.8, 136.2, 134.8, 128.1, 126.8, 125.8, 125.7, 125.5, 124.0, 117.6, 36.6, 32.3.

The analytical data corresponds with those reported in the literature.[19]



6-(tert-butyl)-8-vinyl-3,4-dihydroquinolin-2(1H)-one (43)

Compound **43** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **43** (55.7 mg, 81%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (s, 1H), 7.26 (s, 1H), 7.13 (s, 1H), 6.83 – 6.76 (m, 1H), 5.66 (d, *J* = 17.4 Hz, 1H), 5.46 (d, *J* = 11.0 Hz, 1H), 2.96 (t, *J* = 7.6 Hz, 2H), 2.63 (t, *J* = 7.6 Hz, 2H), 1.32 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 171.4, 145.9, 131.9, 131.5, 124.7, 124.3, 124.0, 122.5, 118.5, 34.3, 31.4, 30.8, 26.1.

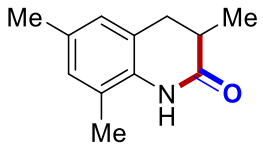


(R)-3-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-carbonitrile (44)

Compound **44** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **44** (25.1 mg, 45%) as a light yellow solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.50 (s, 1H), 7.67 (s, 1H), 7.62 (dd, *J* = 8.3, 1.9 Hz, 1H), 6.99 (d, *J* = 8.2 Hz, 1H), 3.02 (dd, *J* = 15.7, 5.8 Hz, 1H), 2.74 – 2.67 (m, 1H), 2.63 – 2.56 (m, 1H), 1.14 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-

d_6) δ 173.4, 143.0, 132.2, 132.1, 125.1, 119.7, 115.8, 104.0, 34.0, 32.4, 15.5.

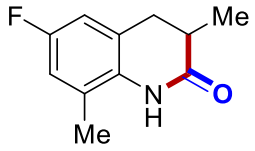
HR-MS (ESI) m/z calc. for $C_{11}H_{10}N_2O$ $[M+H]^+$: 187.0866, found: 187.0871.



(R)-3,6,8-trimethyl-3,4-dihydroquinolin-2(1H)-one (45)

Compound **45** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **45** (18.7 mg, 33%) as a brown solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.36 (s, 1H), 6.84 (d, *J* = 5.8 Hz, 2H), 2.92 (dd, *J* = 14.9, 5.3 Hz, 1H), 2.70 – 2.60 (m, 2H), 2.26 (s, 3H), 2.18 (s, 3H), 1.28 (d, *J* = 6.6 Hz, 3H). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 174.0, 132.9, 132.0, 129.5, 126.5, 123.6, 122.2, 34.9, 33.8, 20.6, 16.6, 15.2.

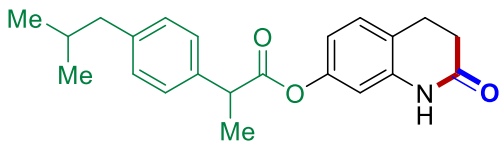
HR-MS (ESI) m/z calc. for $C_{12}H_{15}NO$ $[M+H]^+$: 190.1227, found: 190.1231.



(R)-6-fluoro-3,8-dimethyl-3,4-dihydroquinolin-2(1H)-one (46)

Compound **46** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **46** (23.2 mg, 40%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45 (s, 1H), 6.77 – 6.74 (m, 2H), 2.94 (dd, *J* = 15.3, 5.5 Hz, 1H), 2.76 – 2.60 (m, 2H), 2.22 (s, 3H), 1.28 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.8, 158.1 (d, $J_{C\text{-}F}$ = 241.6 Hz), 131.4 (d, $J_{C\text{-}F}$ = 2.2 Hz), 125.4 (d, $J_{C\text{-}F}$ = 7.9 Hz), 124.2 (d, $J_{C\text{-}F}$ = 8.0 Hz), 115.3 (d, $J_{C\text{-}F}$ = 22.6 Hz), 112.6 (d, $J_{C\text{-}F}$ = 22.8 Hz), 34.6, 33.8, 16.9, 15.0. ^{19}F NMR (375 MHz, Chloroform-*d*) δ -121.2.

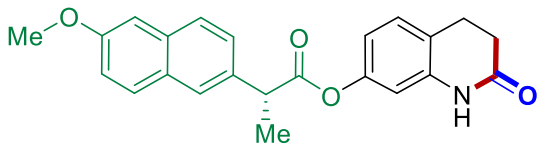
HR-MS (ESI) m/z calc. for $C_{21}H_{29}O_2$ $[M+H]^+$: 313.2162, found: 313.2165.



2-oxo-1,2,3,4-tetrahydroquinolin-7-yl 2-(4-isobutylphenyl)propanoate (47)

Compound **47** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **47** (55.9 mg, 53%) as an orange solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.48 (s, 1H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.14 (d, *J* = 8.2 Hz, 2H), 7.09 (d, *J* = 8.2 Hz, 1H), 6.61 (dd, *J* = 8.2, 2.3 Hz, 1H), 6.47 (d, *J* = 2.3 Hz, 1H), 3.91 (q, *J* = 7.1 Hz, 1H), 2.92 (dd, *J* = 8.6, 6.5 Hz, 2H), 2.61 (dd, *J* = 8.6, 6.5 Hz, 2H), 2.47 (d, *J* = 7.2 Hz, 2H), 1.90 – 1.83 (m, 1H), 1.59 (d, *J* = 7.2 Hz, 3H), 0.91 (d, *J* = 6.6 Hz, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.2, 171.7, 150.2, 140.9, 138.0, 137.1, 129.6, 128.6, 127.2, 121.1, 115.8, 108.8, 45.2, 45.1, 30.6, 30.2, 24.9, 22.4, 18.6.

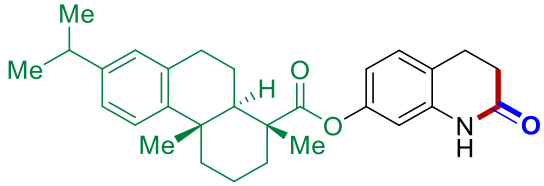
HR-MS (ESI) m/z calc. for $C_{22}H_{25}NO_3$ $[M+H]^+$: 352.1907, found: 352.1909.



2-oxo-1,2,3,4-tetrahydroquinolin-7-yl (R)-2-(6-methoxynaphthalen-2-yl)propanoate (48)

Compound **48** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **48** (52.9 mg, 47%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.01 – 7.94 (m, 1H), 7.74 (t, *J* = 8.0 Hz, 3H), 7.48 (d, *J* = 8.4 Hz, 1H), 7.16 (dd, *J* = 12.0, 3.0 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 1H), 6.62 – 6.58 (m, 1H), 6.42 (d, *J* = 1.8 Hz, 1H), 4.10 – 4.05 (m, 1H), 3.93 (s, 3H), 2.91 (t, *J* = 7.6 Hz, 2H), 2.60 (t, *J* = 7.6 Hz, 2H), 1.68 (d, *J* = 7.2 Hz, 3H). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 173.2, 171.3, 157.8, 150.1, 137.9, 135.0, 133.9, 129.3, 129.0, 128.7, 127.4, 126.2, 126.1, 121.2, 119.2, 115.8, 108.7, 105.6, 55.4, 45.6, 30.6, 24.9, 18.5.

HR-MS (ESI) m/z calc. for $C_{25}H_{28}NaO$ $[M+H]^+$: 376.1544, found: 376.1545.

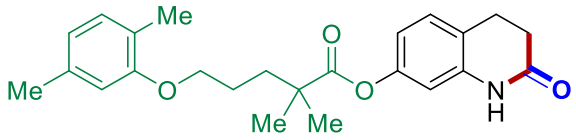


2-oxo-1,2,3,4-tetrahydroquinolin-7-yl (1R,4aS,10aR)-7-isopropyl-1,4a-dimethyl-1,2,3,4,4a,9,10,10a-octahydrophenanthrene-1-carboxylate (49)

Compound **49** was prepared following the general procedure, purification by column

chromatography on silica gel (PE/EtOAc = 15:1) yielded **49** (69.5 mg, 52%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.55 (s, 1H), 7.22 (d, *J* = 8.0 Hz, 1H), 7.16 (d, *J* = 8.2 Hz, 1H), 7.05 (d, *J* = 8.2 Hz, 1H), 6.93 (s, 1H), 6.67 (dd, *J* = 8.0, 2.0 Hz, 1H), 6.53 (d, *J* = 2.0 Hz, 1H), 2.97 (t, *J* = 7.4 Hz, 4H), 2.85 (q, *J* = 7.0 Hz, 1H), 2.66 (t, *J* = 7.6 Hz, 2H), 2.41 (dd, *J* = 23.4, 12.6 Hz, 2H), 1.97 (t, *J* = 9.6 Hz, 2H), 1.85 (d, *J* = 13.0 Hz, 2H), 1.73 (d, *J* = 17.7 Hz, 1H), 1.66 – 1.59 (m, 2H), 1.42 (s, 3H), 1.29 (s, 3H), 1.25 (d, *J* = 6.2 Hz, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 177.2, 171.6, 150.5, 146.7, 145.9, 138.2, 134.6, 128.6, 126.9, 124.2, 124.1, 121.0, 115.8, 108.9, 48.0, 44.9, 38.0, 37.0, 36.5, 34.0, 33.5, 30.7, 30.2, 25.2, 24.9, 24.0, 21.9, 18.6, 16.6.

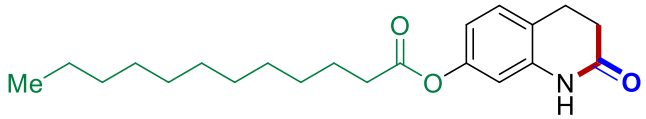
HR-MS (ESI) m/z calc. for $C_{25}H_{28}NaO$ $[M+H]^+$: 446.2690, found:446.2689.



2-oxo-1,2,3,4-tetrahydroquinolin-7-yl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (50)

Compound **50** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **50** (61.7 mg, 52%) as an orange solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.62 (s, 1H), 7.12 (d, *J* = 8.2 Hz, 1H), 7.01 (d, *J* = 7.4 Hz, 1H), 6.70 – 6.62 (m, 3H), 6.44 (s, 1H), 3.99 (t, *J* = 4.8 Hz, 2H), 2.93 (t, *J* = 7.4 Hz, 2H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.31 (s, 3H), 2.18 (s, 3H), 1.88 (s, 4H), 1.37 (s, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 176.4, 171.7, 156.9, 150.3, 138.2, 136.6, 130.4, 128.6, 123.7, 121.0, 120.8, 115.9, 112.0, 109.0, 67.7, 42.4, 37.1, 34.0, 30.7, 25.3, 25.2, 24.9, 21.4, 15.8.

HR-MS (ESI) m/z calc. for $C_{24}H_{29}NO_4$ $[M+H]^+$: 396.2170, found: 396.2171.

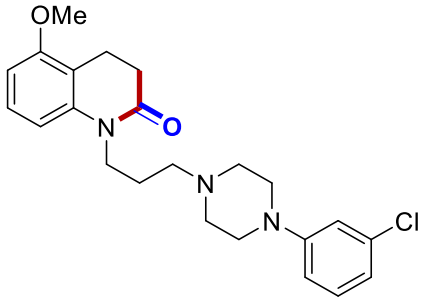


2-oxo-1,2,3,4-tetrahydroquinolin-7-yl dodecanoate (51)

Compound **51** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **51** (52.9 mg, 51%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.63 (s, 1H), 7.14 (d, *J* = 8.1 Hz, 1H), 6.69

(dd, *J* = 8.1, 2.3 Hz, 1H), 6.55 (d, *J* = 2.3 Hz, 1H), 3.49 – 3.43 (m, 1H), 2.94 (dd, *J* = 8.8, 6.2 Hz, 2H), 2.63 (dd, *J* = 8.6, 6.6 Hz, 2H), 2.53 (t, *J* = 7.5 Hz, 2H), 1.93 (dd, *J* = 12.4, 3.9 Hz, 2H), 1.75 – 1.67 (m, 4H), 1.62 – 1.57 (m, 1H), 1.26 (s, 8H), 1.11 (td, *J* = 10.8, 9.5, 3.6 Hz, 2H), 0.87 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.3, 150.1, 138.1, 128.7, 121.1, 116.0, 109.0, 49.2, 34.4, 33.9, 31.9, 30.6, 29.6, 29.5, 29.34, 29.25, 29.1, 25.6, 24.94, 24.90.

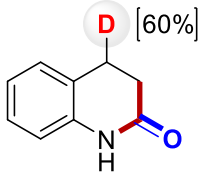
HR-MS (ESI) m/z calc. for $C_{21}H_{31}NO_3$ $[M+H]^+$: 346.2377, found: 346.2375.



1-(3-(4-(3-chlorophenyl)piperazin-1-yl)propyl)-5-methoxy-3,4-dihydroquinolin-2(1H)-one (52)

Compound **52** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **52** (69.9 mg, 66%) as an orange solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.20 – 7.13 (m, 2H), 6.87 (s, 1H), 6.81 – 6.73 (m, 3H), 6.62 (d, *J* = 8.3 Hz, 1H), 4.00 (t, *J* = 7.6 Hz, 2H), 3.84 (s, 3H), 3.20 (t, *J* = 5.2 Hz, 4H), 2.91 – 2.86 (m, 2H), 2.58 (t, *J* = 4.4 Hz, 6H), 2.46 (t, *J* = 7.2 Hz, 2H), 1.90 – 1.83 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.3, 156.6, 152.4, 140.8, 135.0, 130.0, 127.6, 119.2, 115.7, 114.7, 113.8, 107.9, 105.5, 55.7, 53.1, 48.7, 40.7, 31.3, 24.8, 18.1.

The analytical data corresponds with those reported in the literature. [21]

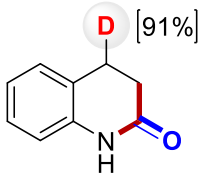


3,4-dihydroquinolin-2(1H)-one-4-d (53)

Compound **53** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **53** (31.1 mg, 70%) as a yellow

solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.44 (s, 1H), 7.19 – 7.14 (m, 2H), 6.98 (t, *J* = 7.4 Hz, 1H), 6.86 (d, *J* = 7.7 Hz, 1H), 2.96 (q, *J* = 7.2 Hz, labeled, 1.40H, 60% D), 2.65 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.5, 137.39, 137.37, 127.9, 127.5, 123.1, 115.6, 30.7, 25.3 – 24.8 (m, labeled, 1C).

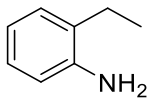
The analytical data corresponds with those reported in the literature. [1]



3,4-dihydroquinolin-2(1H)-one-4-d (54)

Compound **54** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **54** (32.0 mg, 72%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.19 (s, 1H), 7.19 – 7.15 (m, 2H), 7.00 – 6.96 (m, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 2.96 (q, *J* = 7.3 Hz, labeled, 1.09H, 91% D), 2.64 (d, *J* = 7.6 Hz, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.3, 137.4, 127.9, 127.5, 123.6, 123.1, 115.6, 30.7, 25.3 – 24.8 (m, labeled, 1C).

The analytical data corresponds with those reported in the literature. [1]



2-ethylaniline (55)

Compound **55** was prepared following the general procedure, purification by column chromatography on silica gel (PE/EtOAc = 15:1) yielded **55** (21.7 mg, 68%) as a colorless liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.07 – 7.01 (m, 2H), 6.75 (t, *J* = 7.4 Hz, 1H), 6.67 (d, *J* = 7.8 Hz, 1H), 3.50 (s, 2H), 2.51 (q, *J* = 7.6 Hz, 2H), 1.24 (t, *J* = 15.1 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 144.0, 128.4, 128.1, 126.8, 118.9, 115.4, 24.03, 13.0.

The analytical data corresponds with those reported in the literature. [22]

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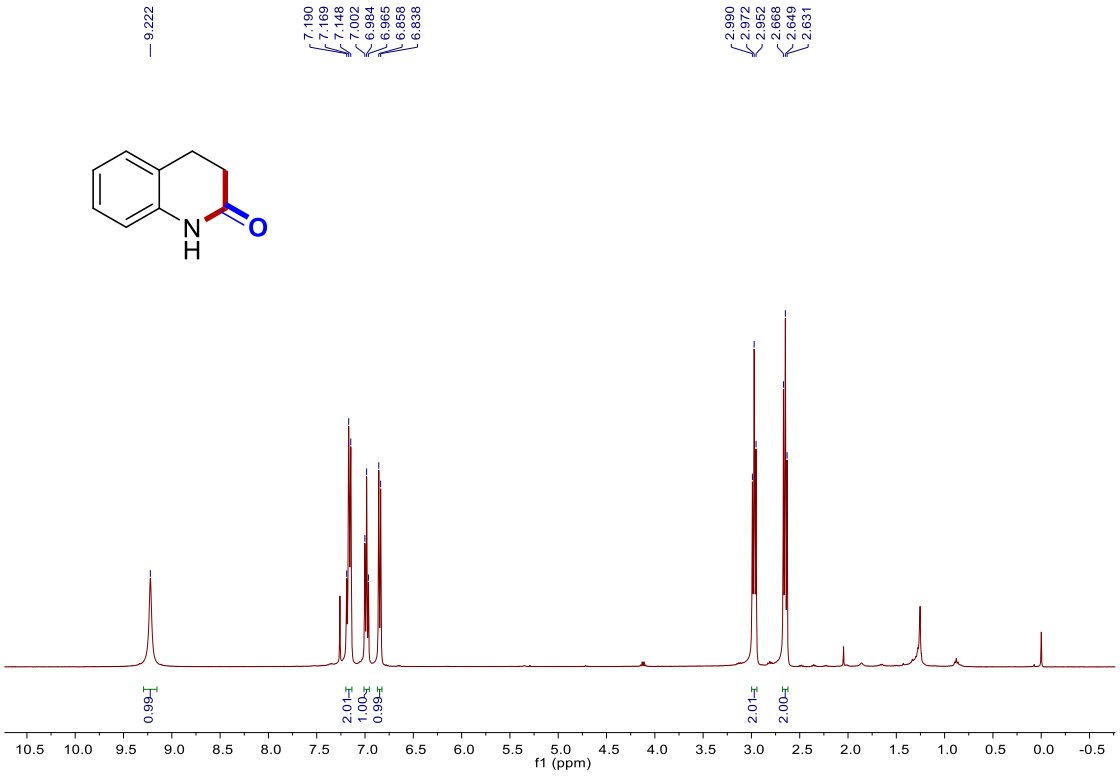
NMR Spectra

^{1}H NMR of compound 1 (400 MHz, $CDCl_3$):



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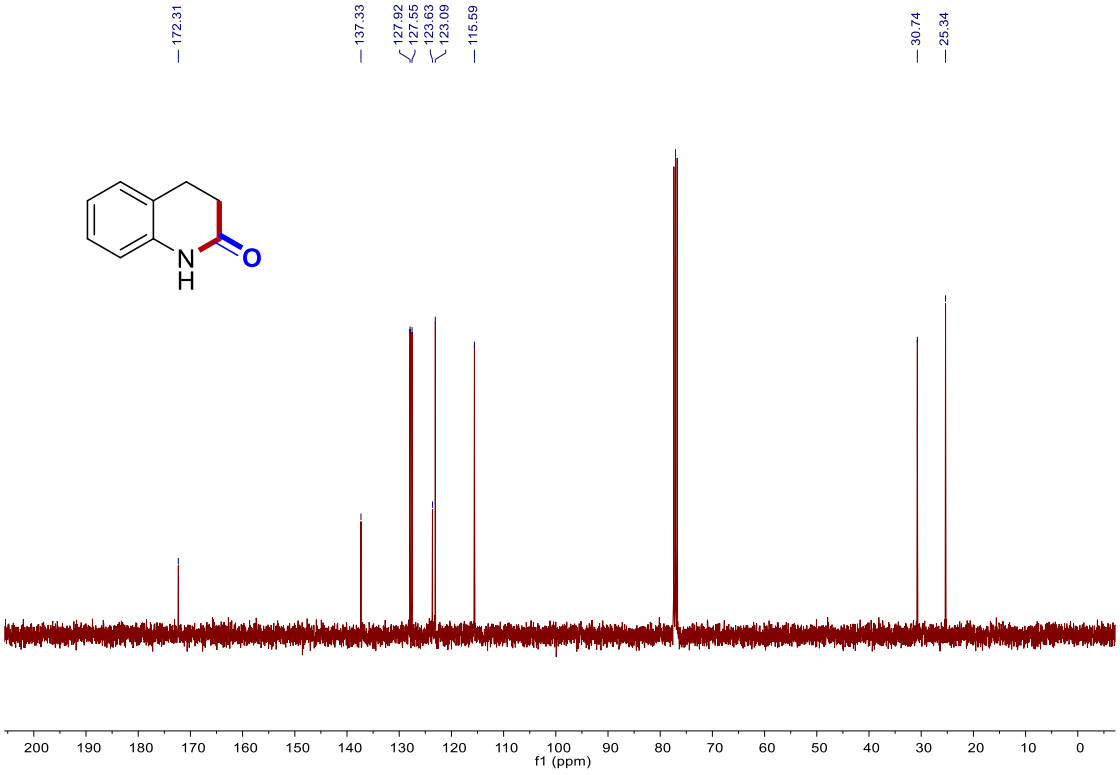

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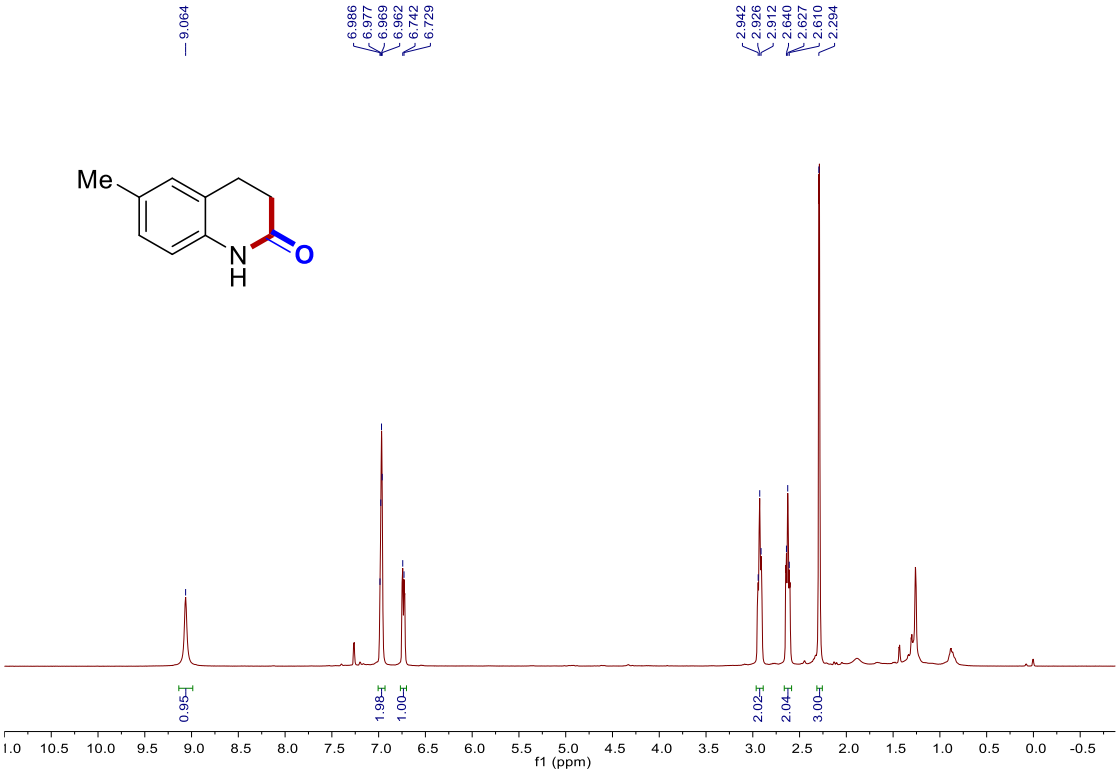

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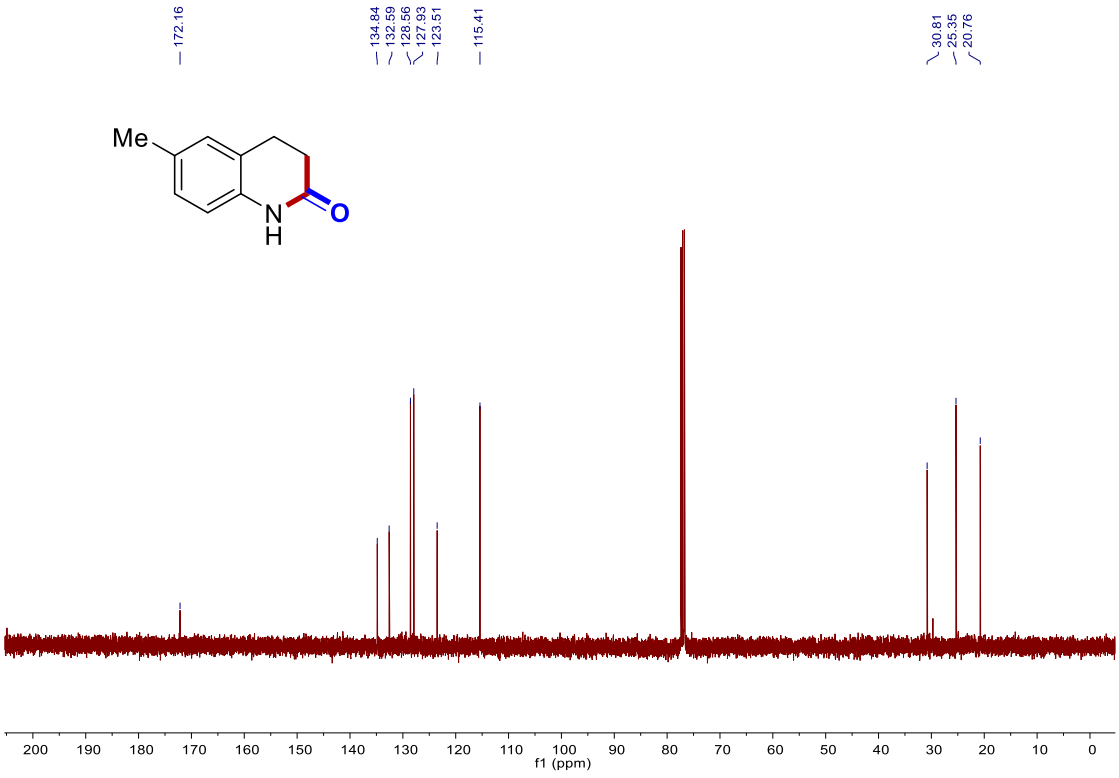

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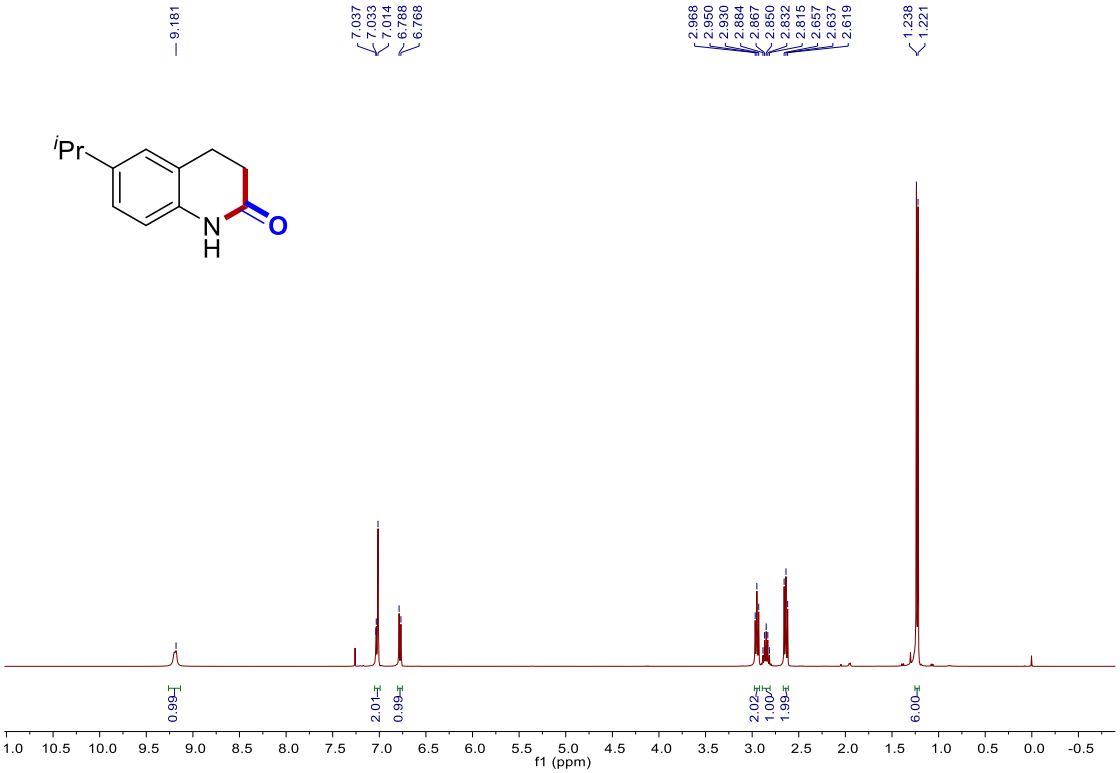
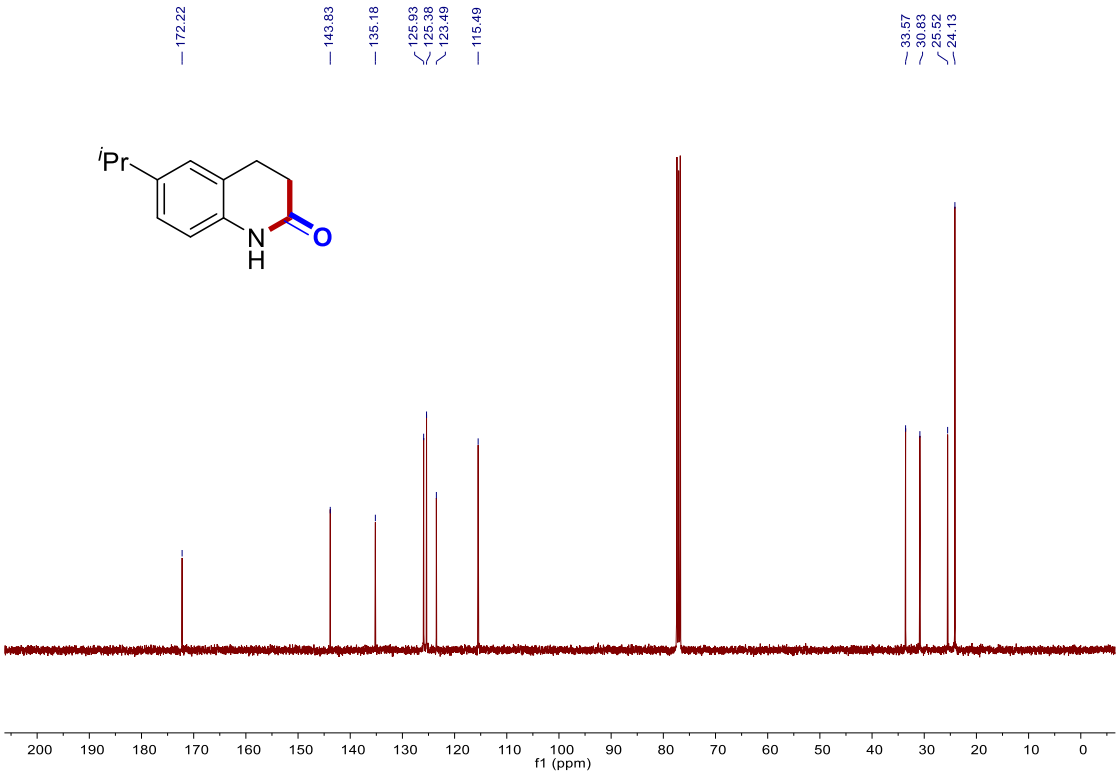


^{13}C NMR of Compound 3 (100 MHz, $CDCl_3$):



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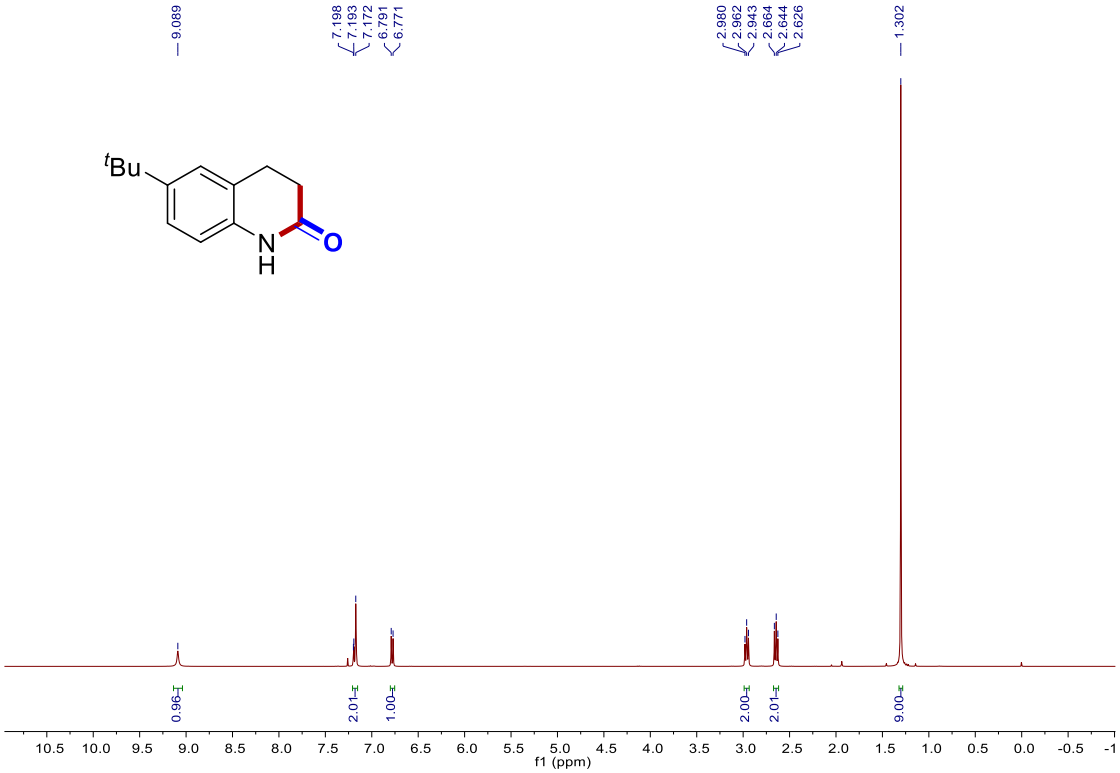
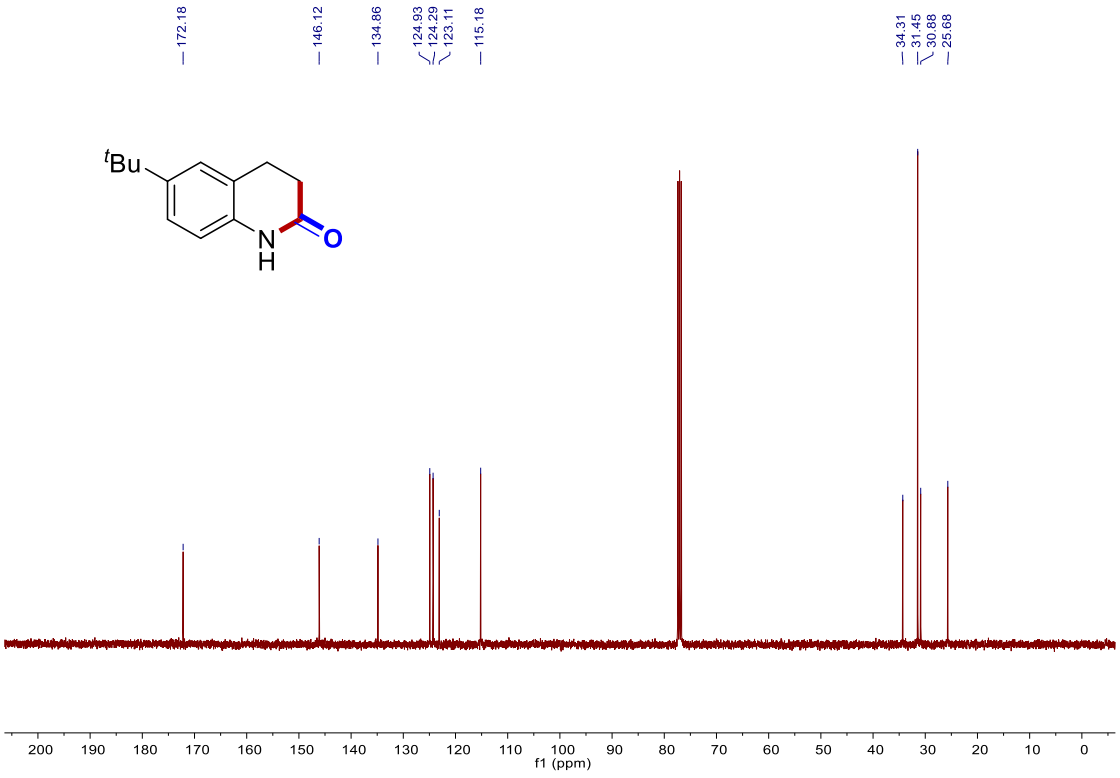
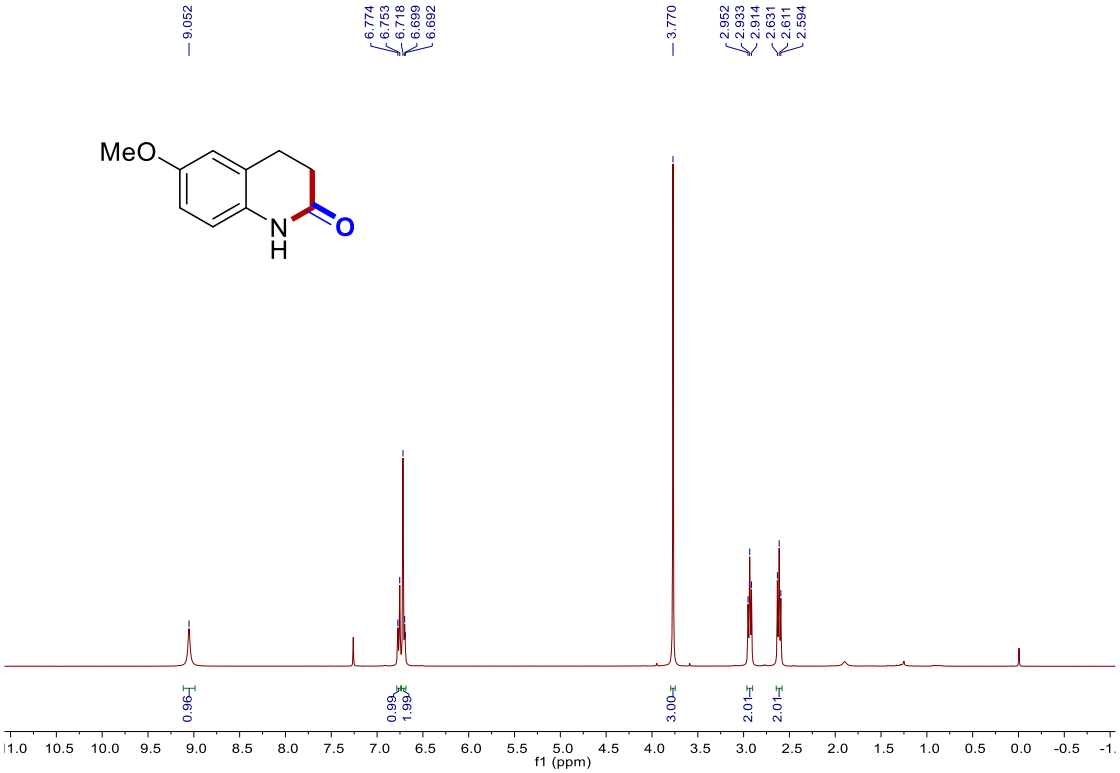


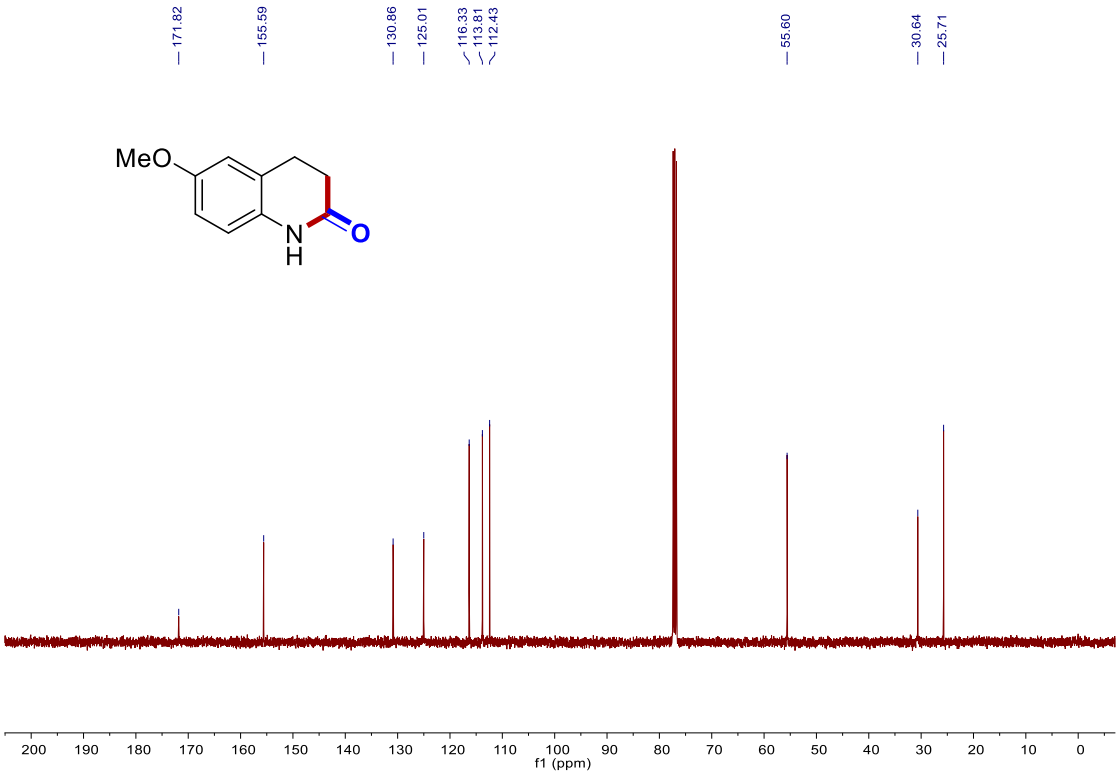
^{13}C NMR of Compound 4 (100 MHz, $CDCl_3$):



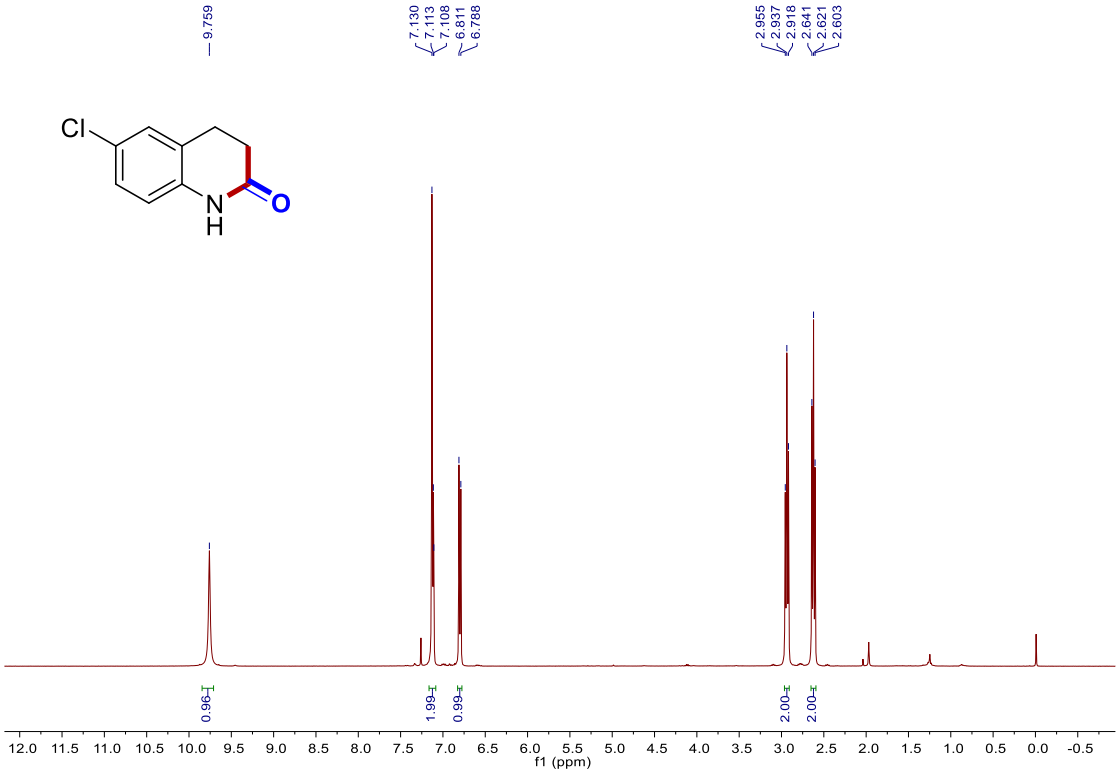
^{1}H NMR of compound 5 (400 MHz, $CDCl_3$):



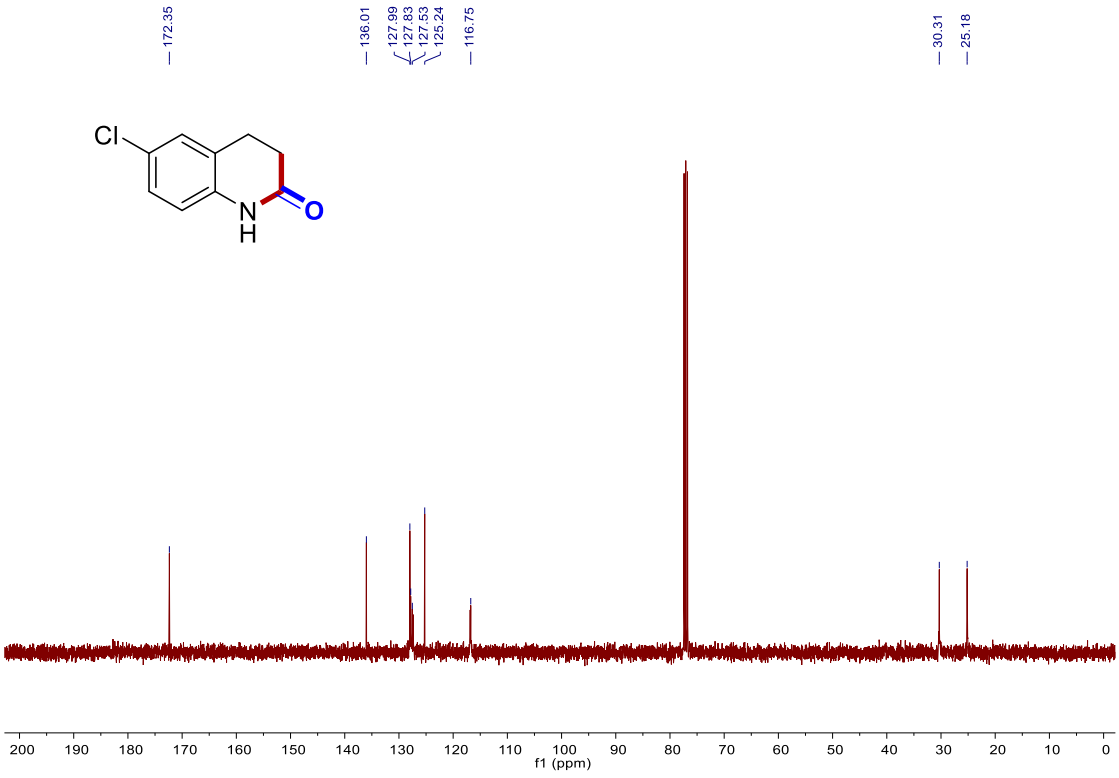
^{13}C NMR of Compound 5 (100 MHz, $CDCl_3$):



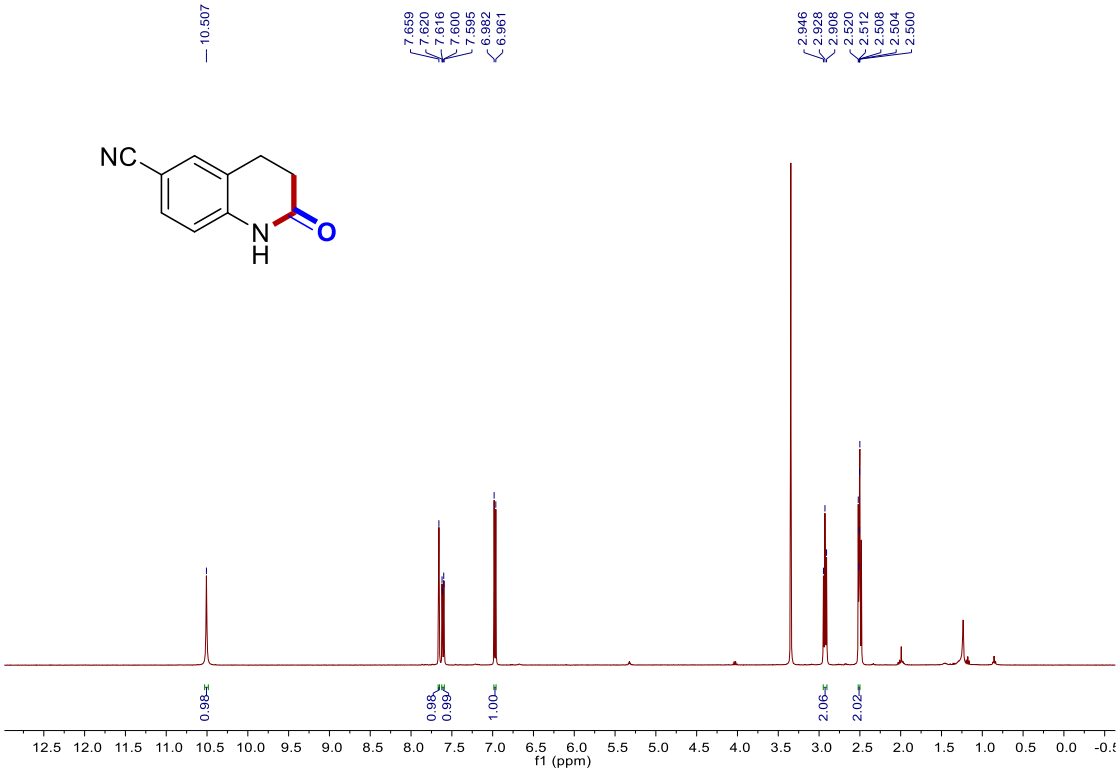
^{1}H NMR of compound 6 (400 MHz, $CDCl_3$):



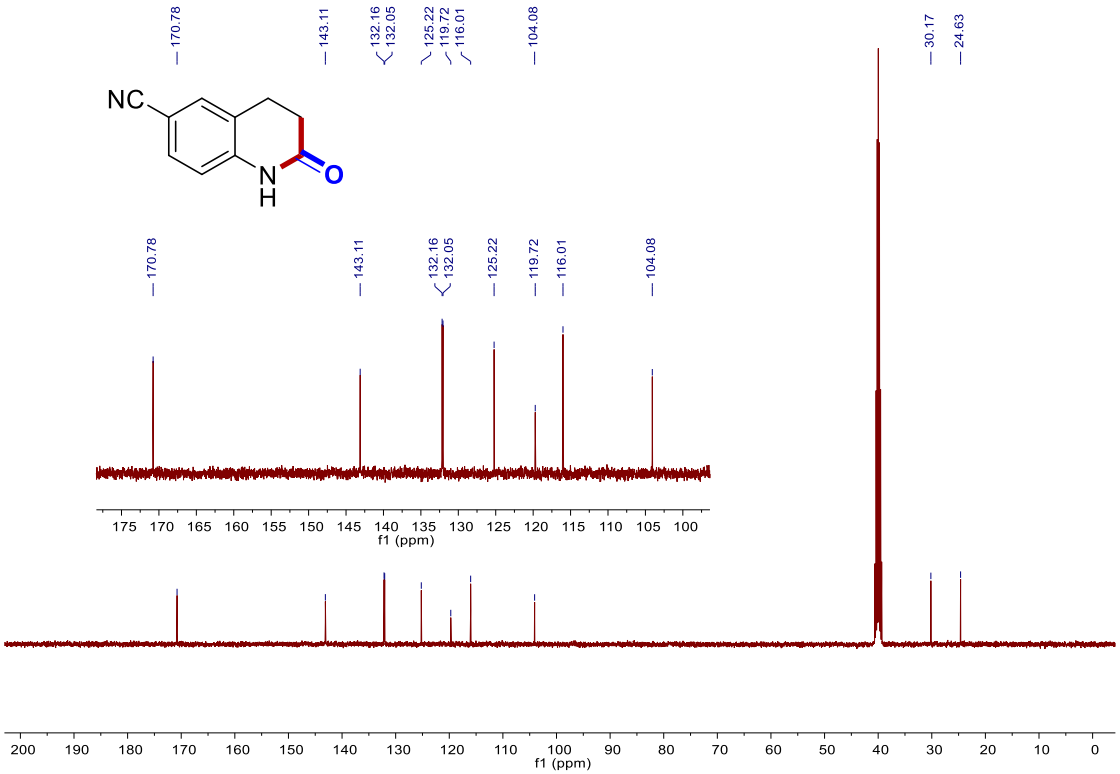
^{13}C NMR of Compound 6 (100 MHz, $CDCl_3$):



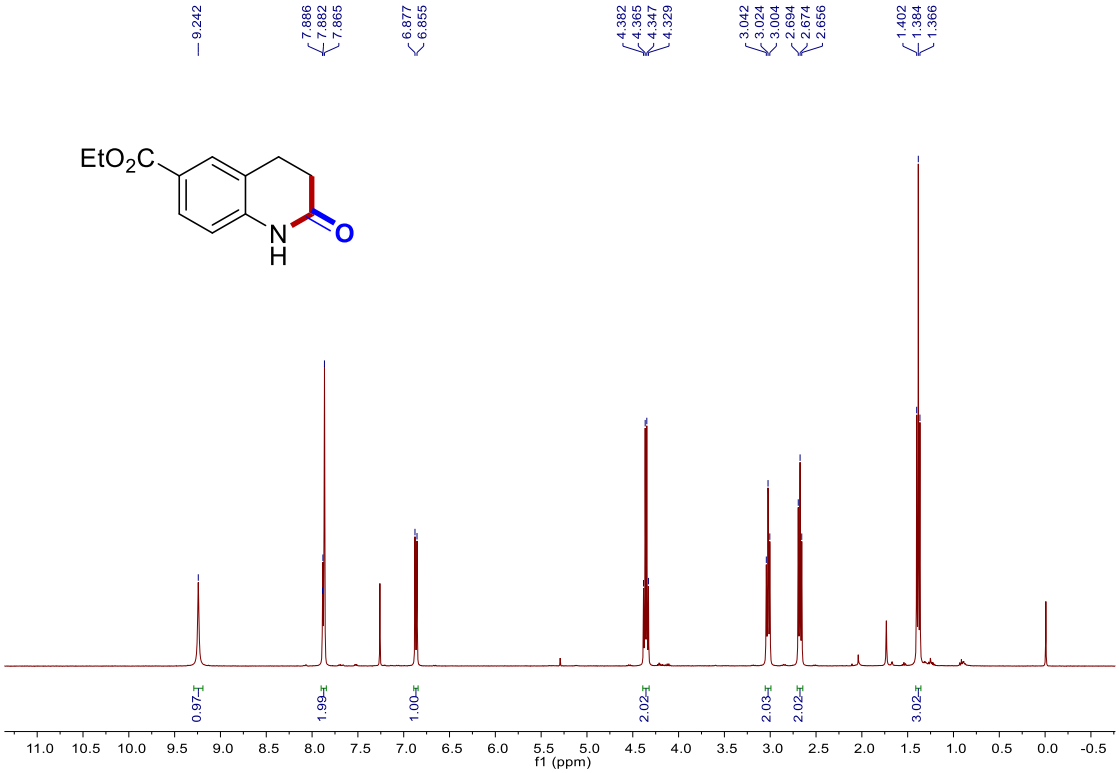
^{1}H NMR of compound 7 (400 MHz, DMSO-d_6):



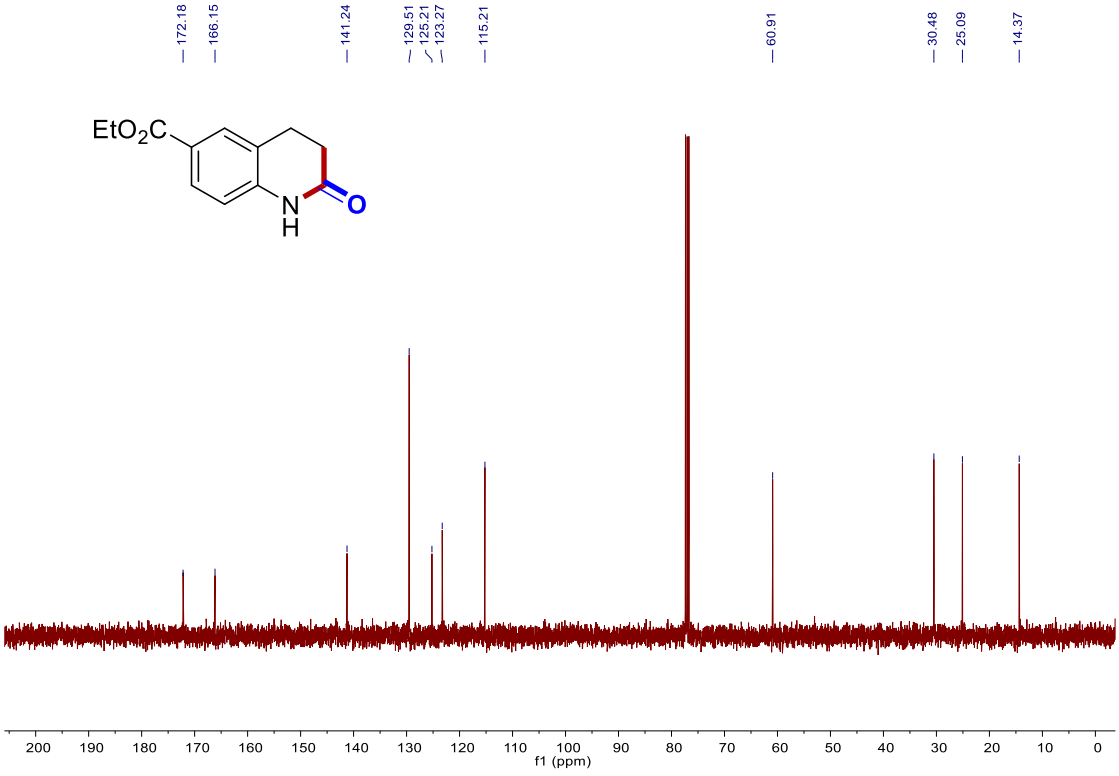
^{13}C NMR of Compound 7 (100 MHz, DMSO-d_6):



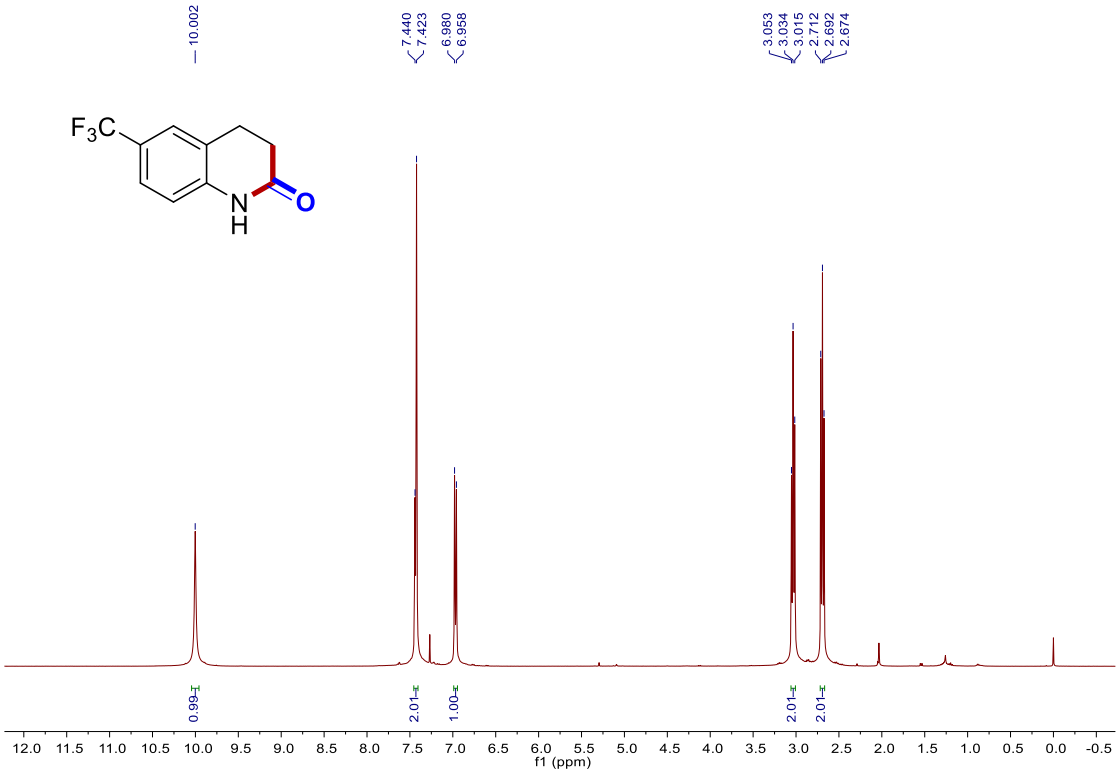
^{1}H NMR of compound 8 (400 MHz, $CDCl_3$):



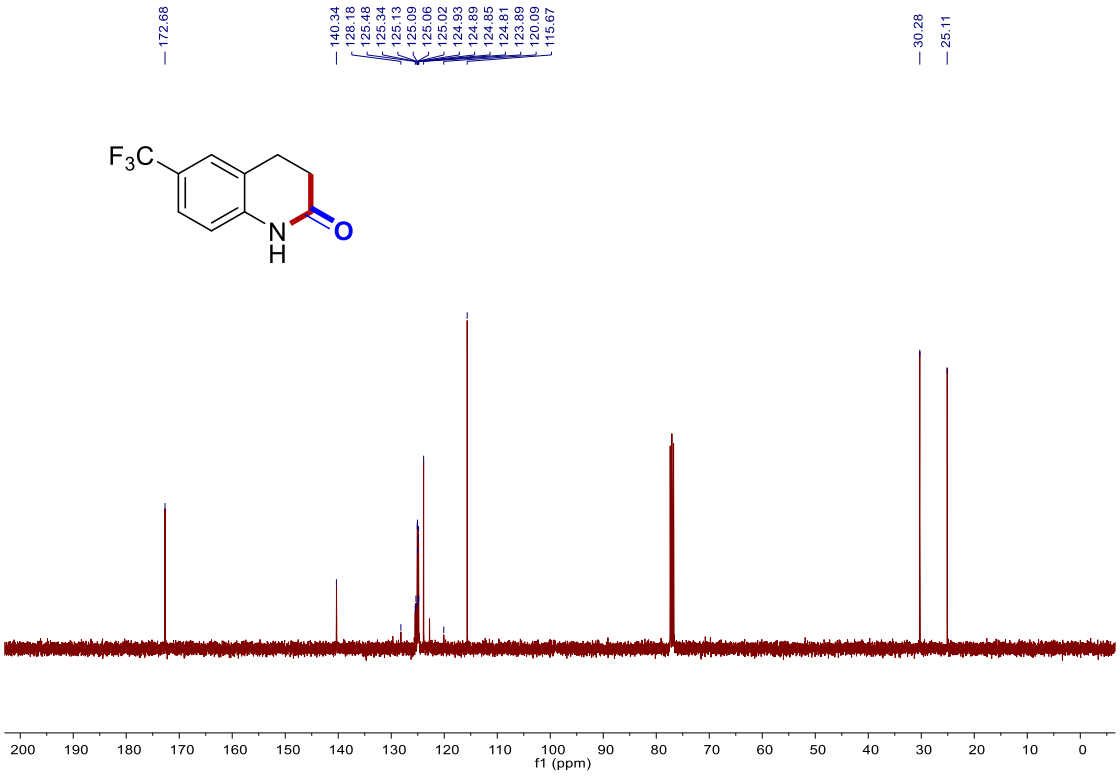
^{13}C NMR of Compound 8 (100 MHz, $CDCl_3$):



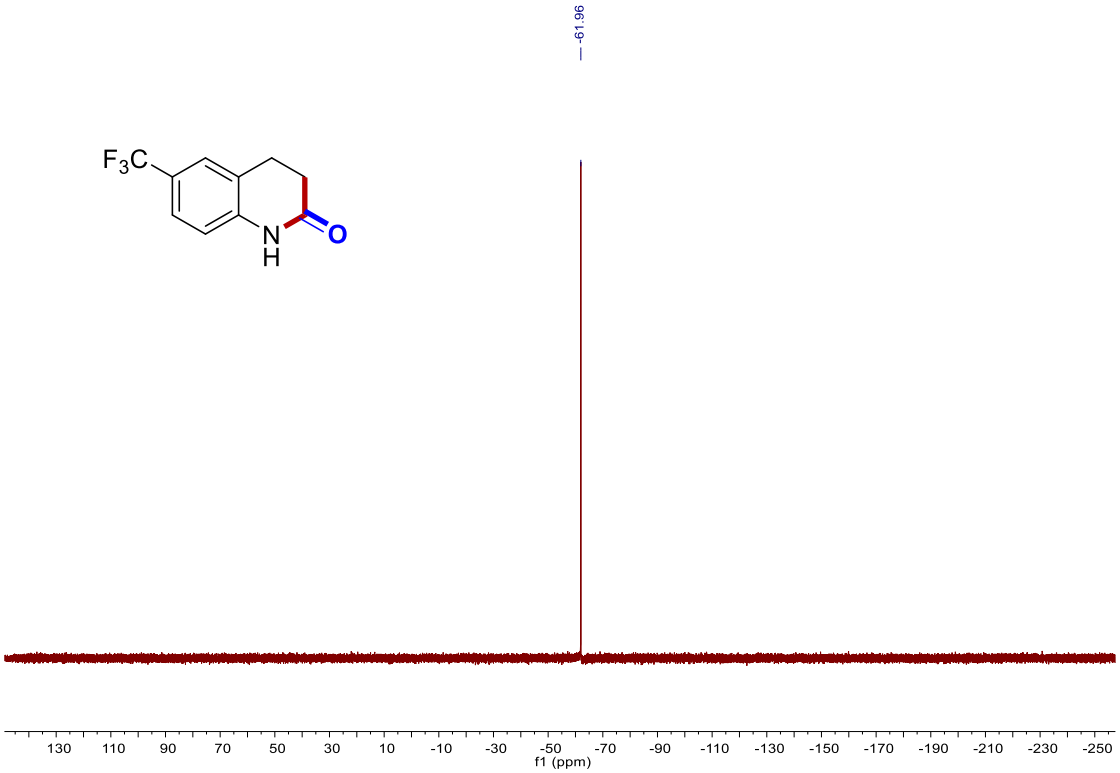
^{1}H NMR of compound 9 (400 MHz, $CDCl_3$):



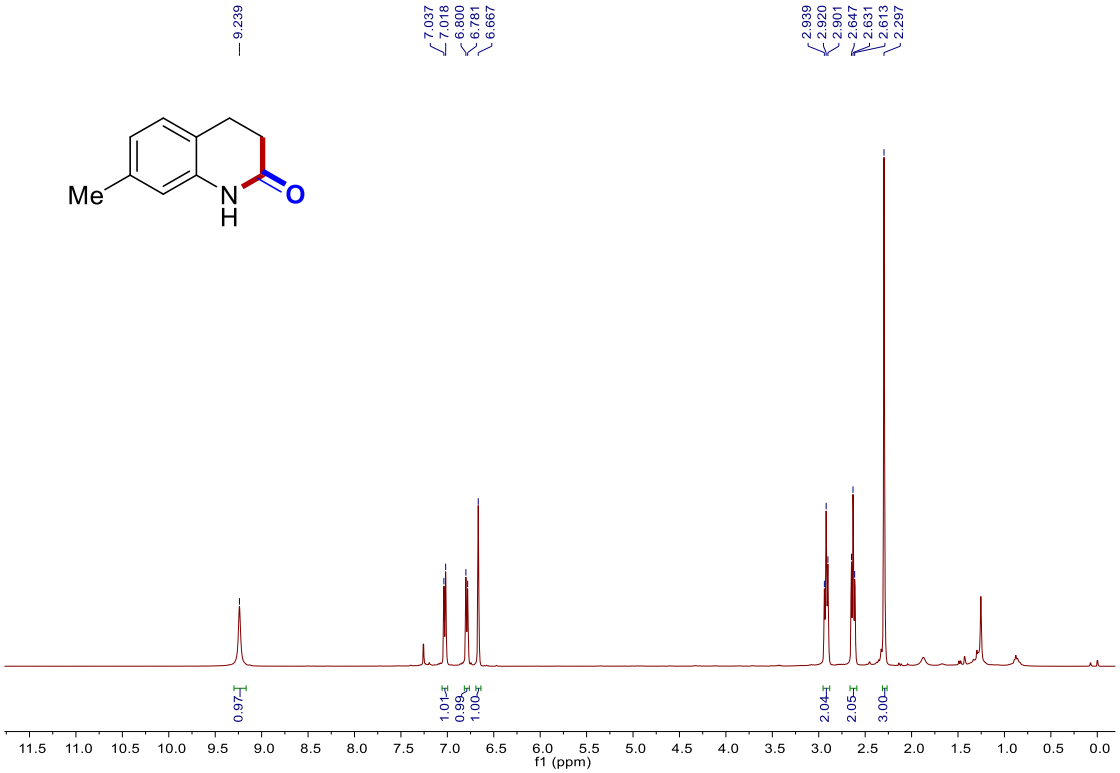
^{13}C NMR of Compound 9 (100 MHz, $CDCl_3$):



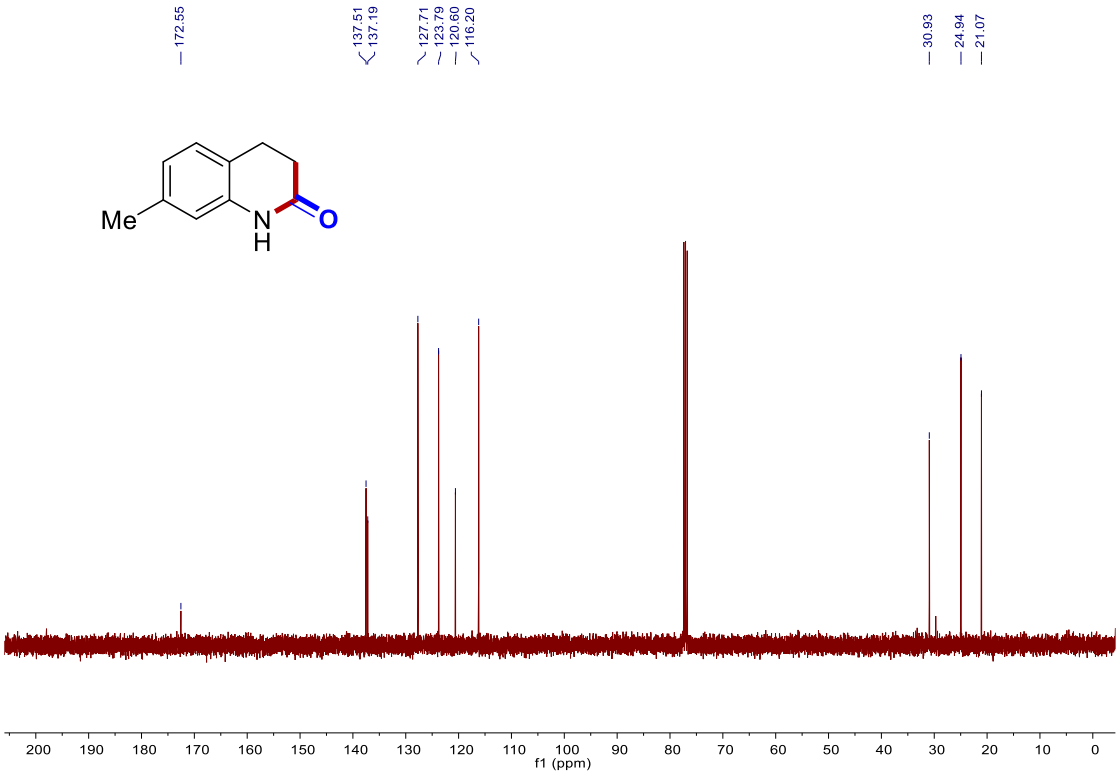
^{19}F NMR of Compound 9 (375 MHz, $CDCl_3$):



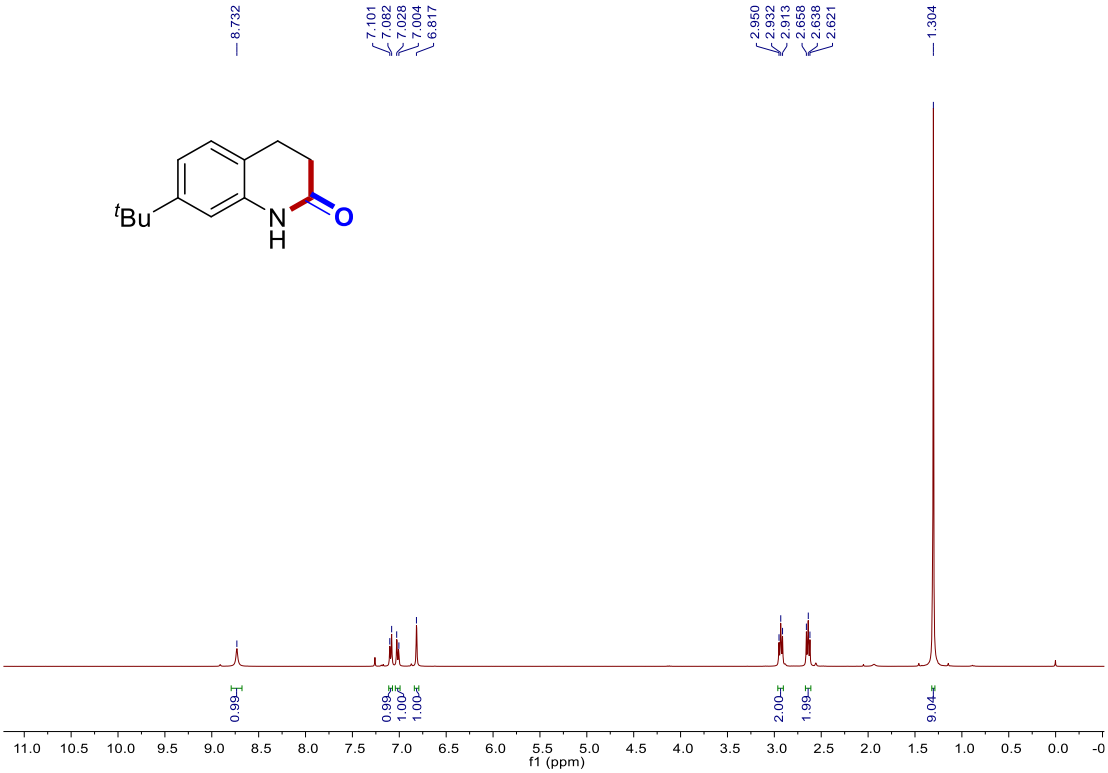
^{1}H NMR of compound 10 (400 MHz, $CDCl_3$):



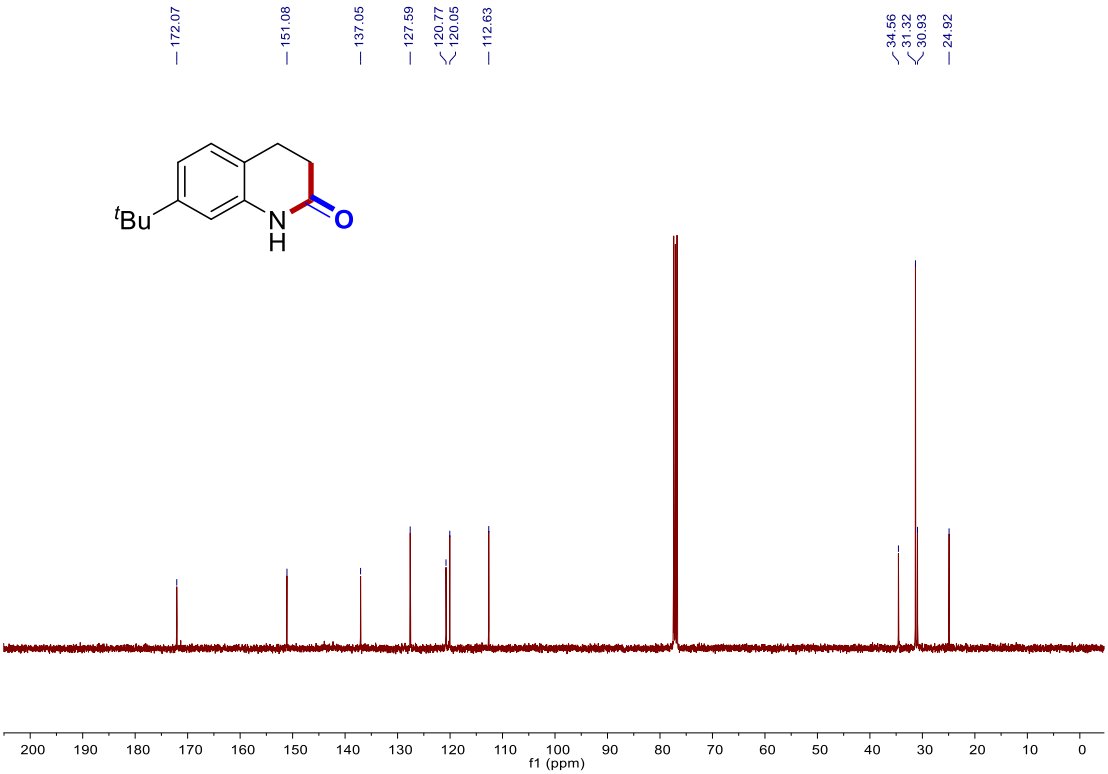
^{13}C NMR of Compound 10 (100 MHz, $CDCl_3$):



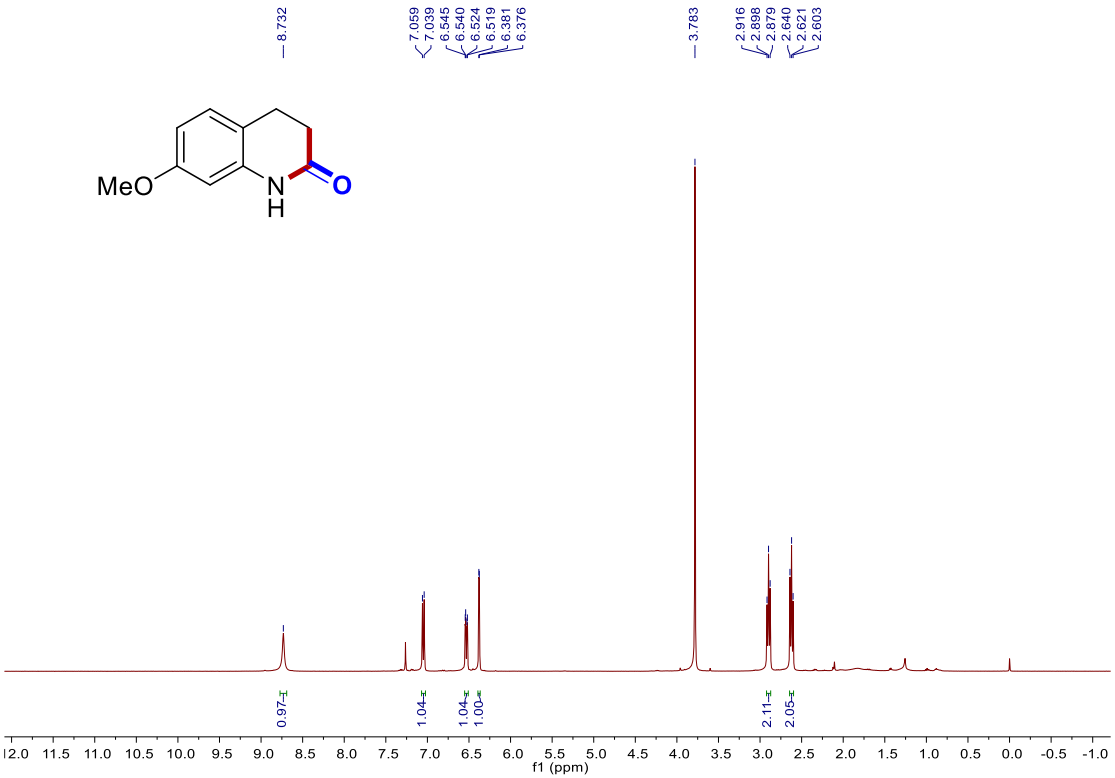
^{1}H NMR of compound 11 (400 MHz, $CDCl_3$):



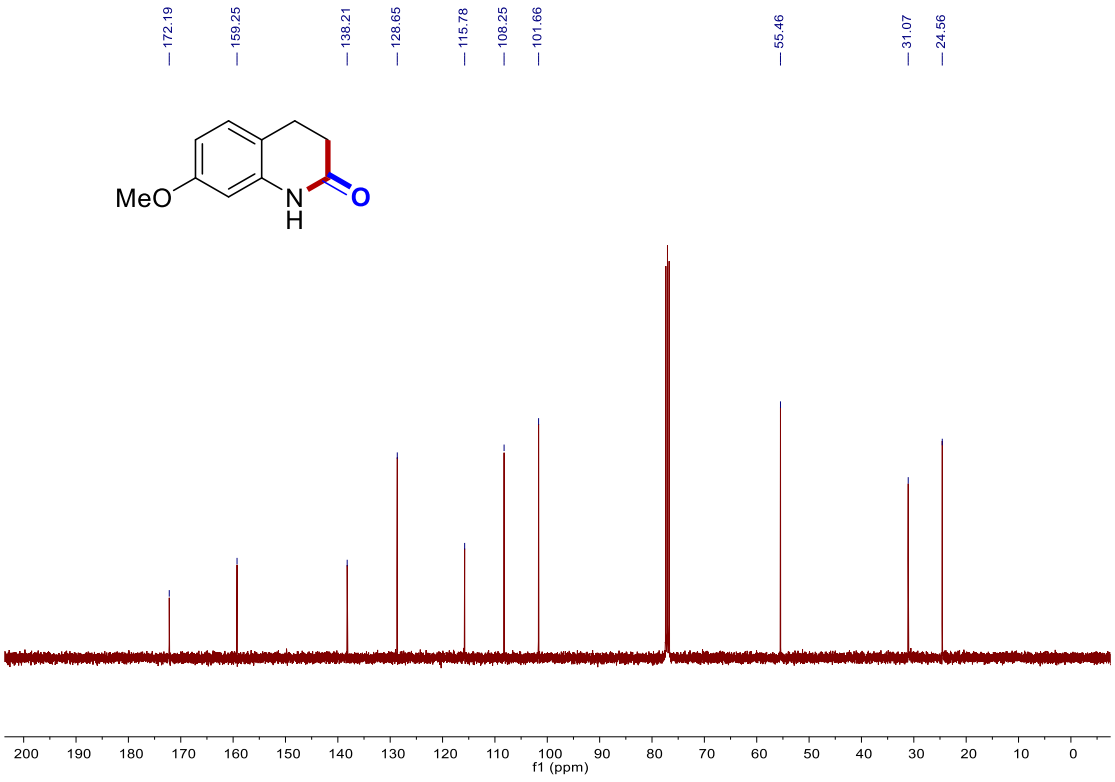
^{13}C NMR of Compound 11 (100 MHz, $CDCl_3$):



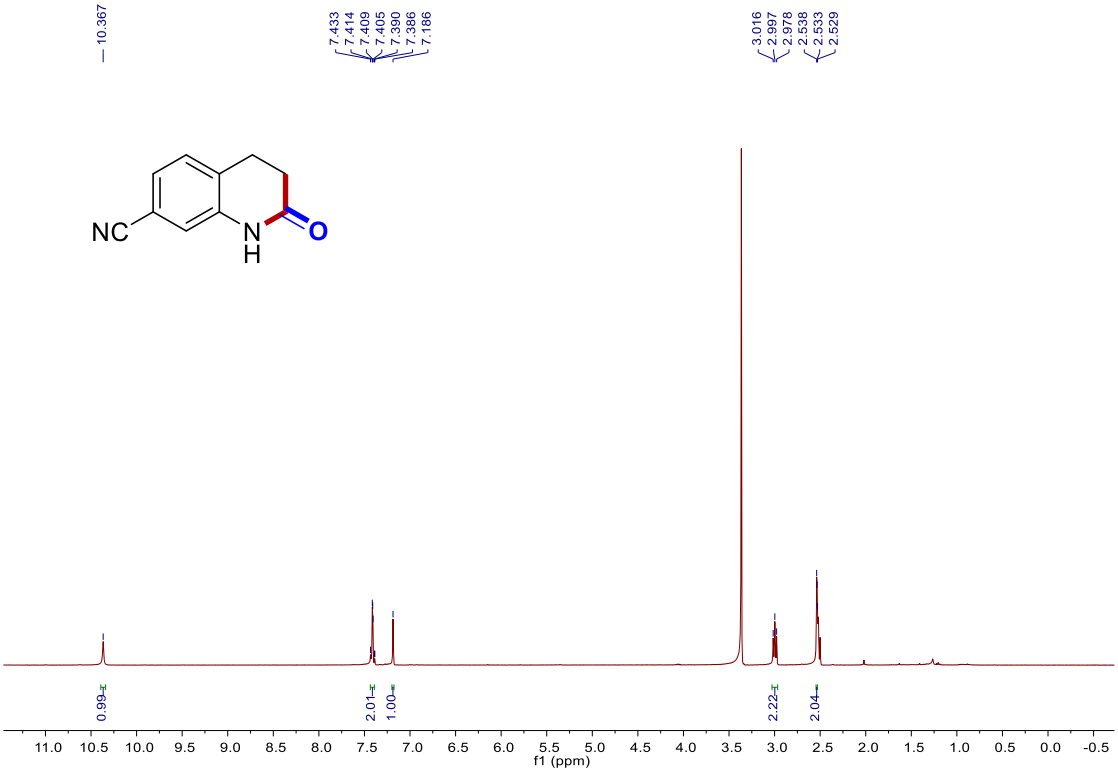
^{1}H NMR of compound 12 (400 MHz, $CDCl_3$):



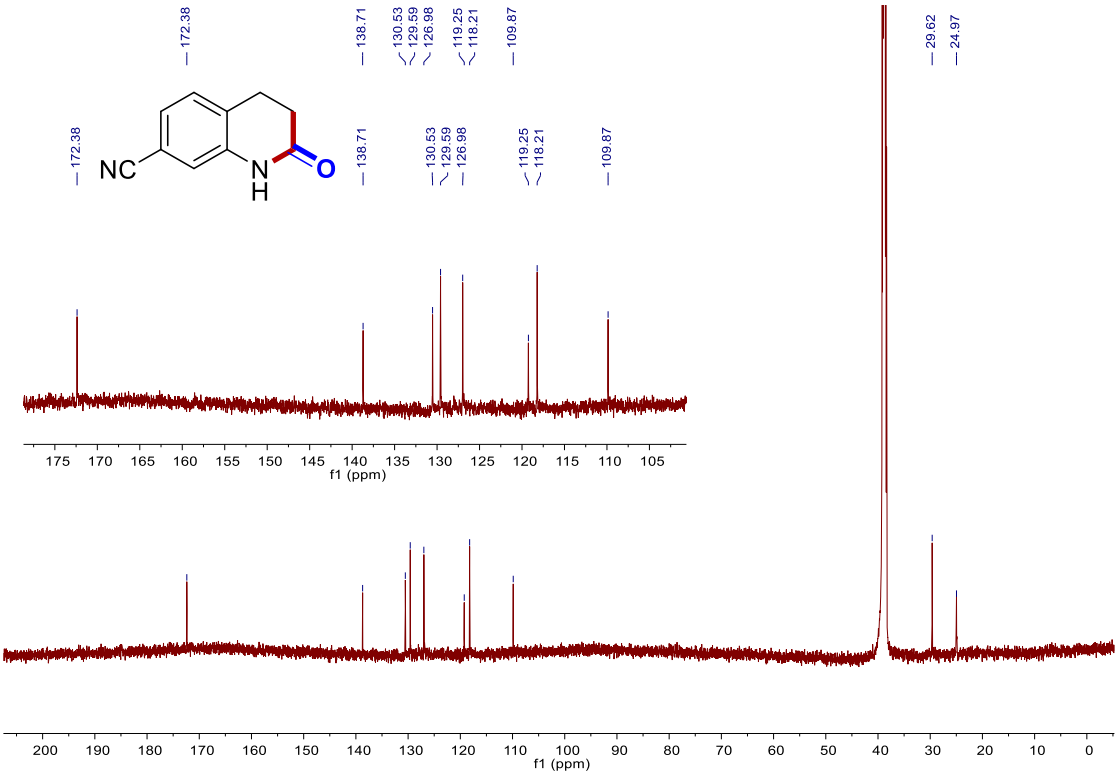
^{13}C NMR of Compound 12 (100 MHz, $CDCl_3$):



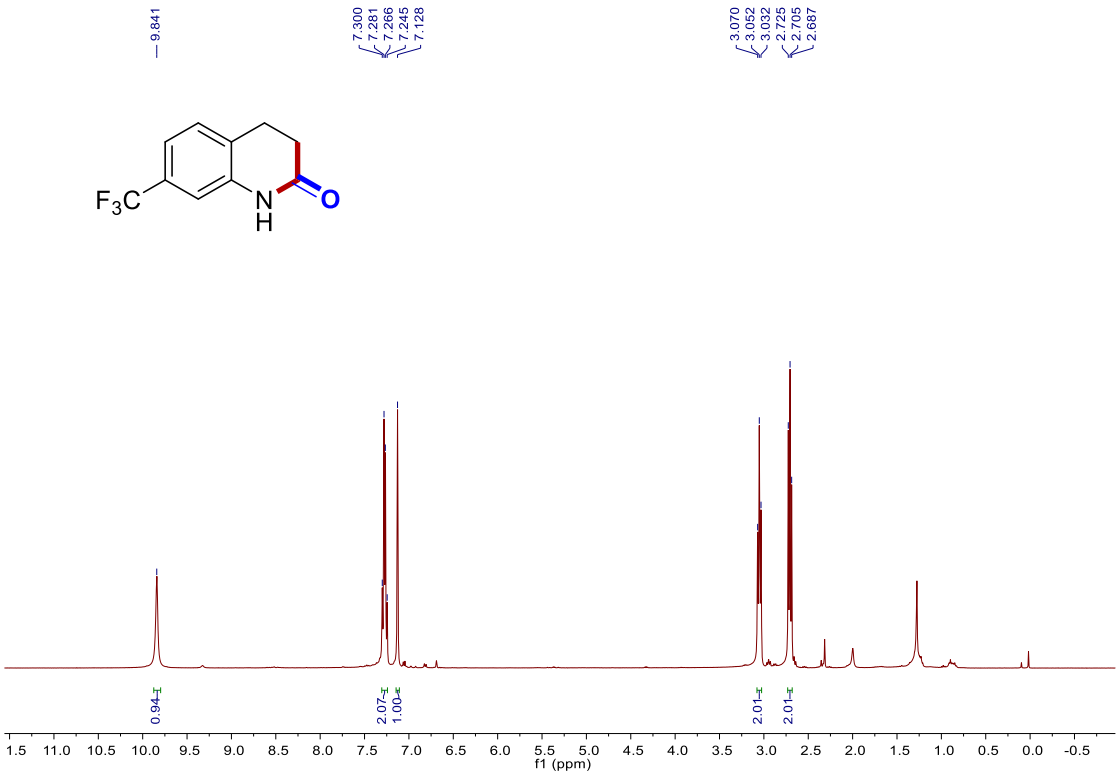
^{1}H NMR of compound 13 (400 MHz, DMSO-d_6):



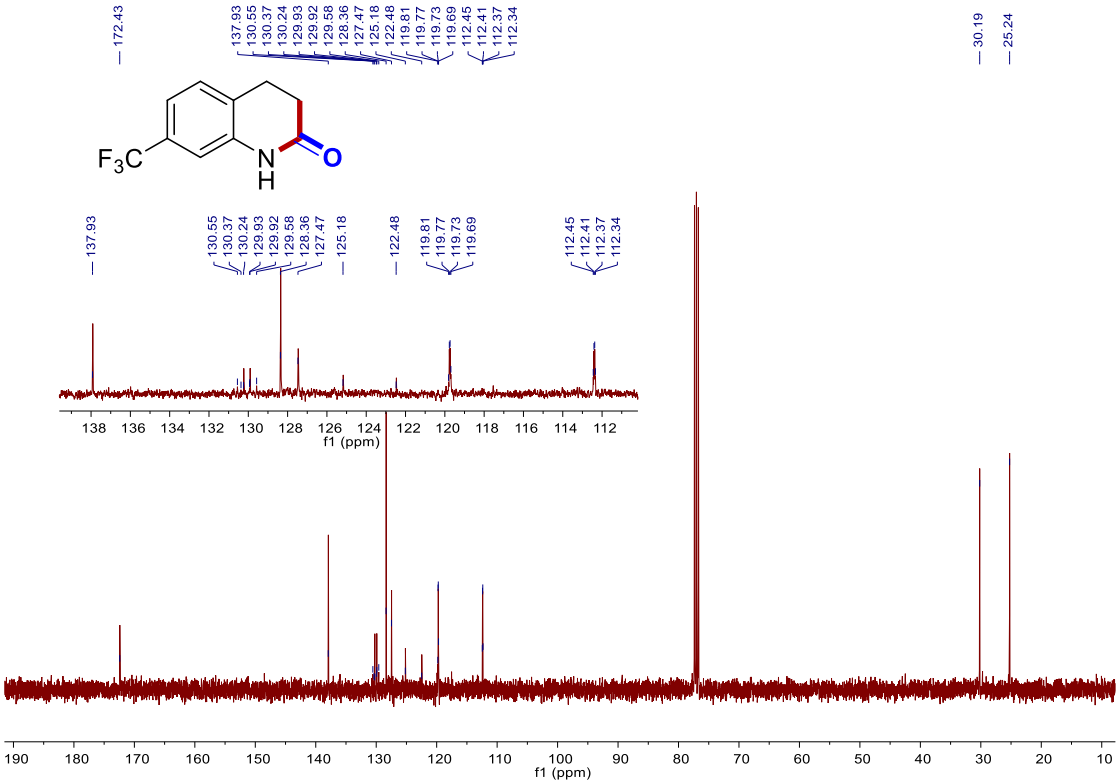
^{13}C NMR of Compound 13 (100 MHz, DMSO-d_6):



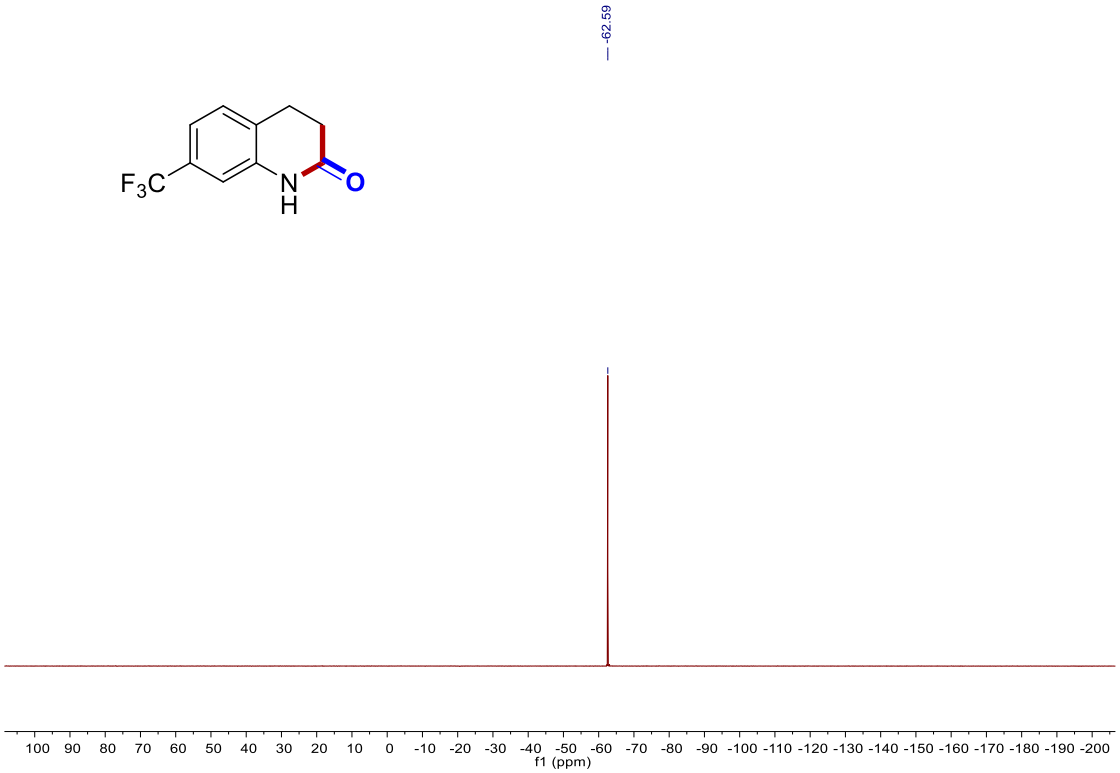
^{1}H NMR of compound 14 (400 MHz, $CDCl_3$):



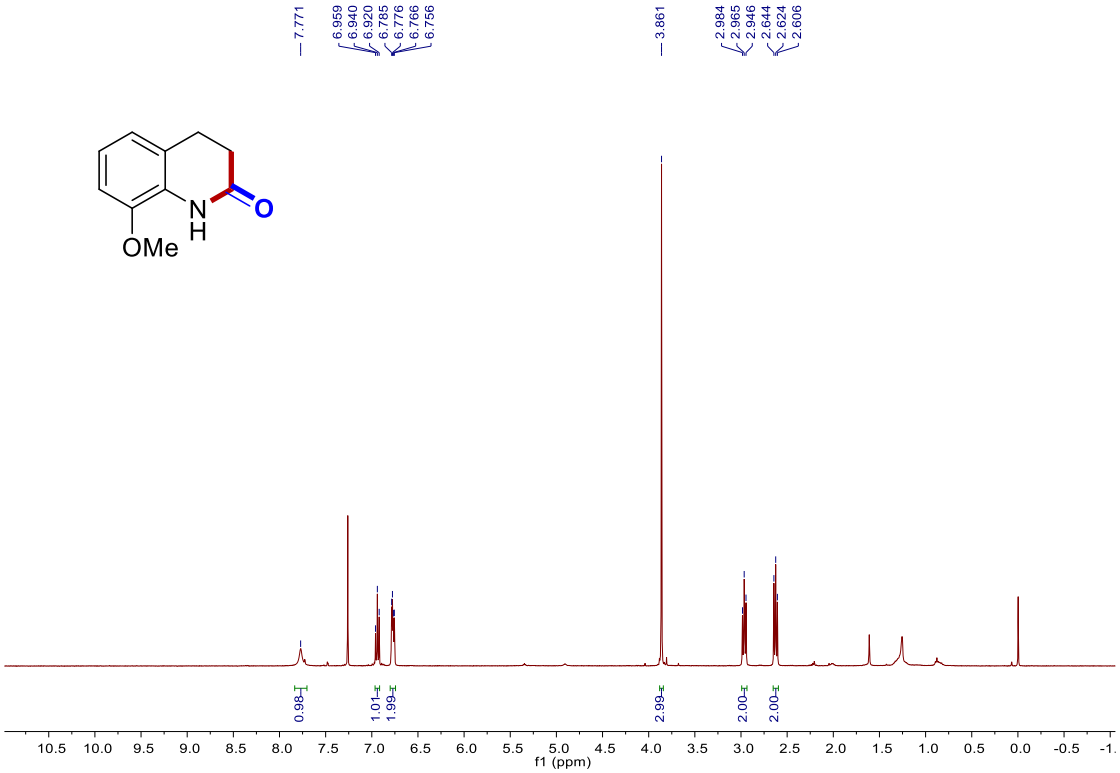
^{13}C NMR of Compound 14 (100 MHz, $CDCl_3$):



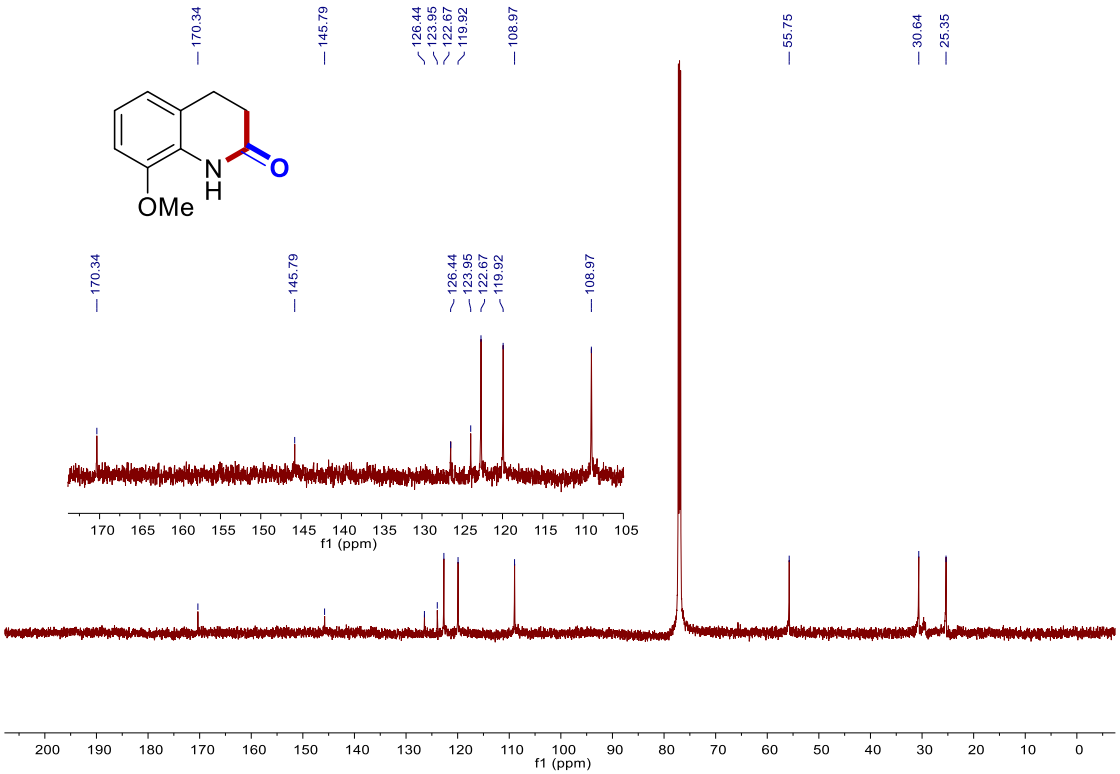
^{19}F NMR of Compound 14 (375 MHz, $CDCl_3$):



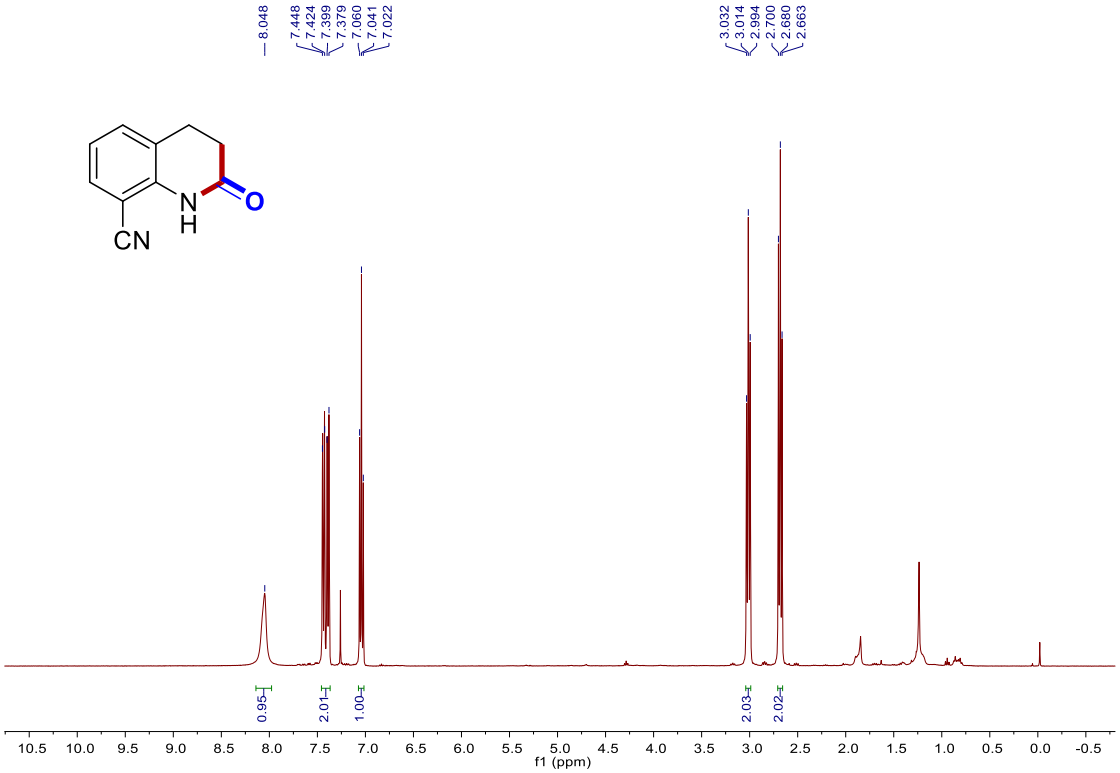
^{1}H NMR of compound 15 (400 MHz, $CDCl_3$):



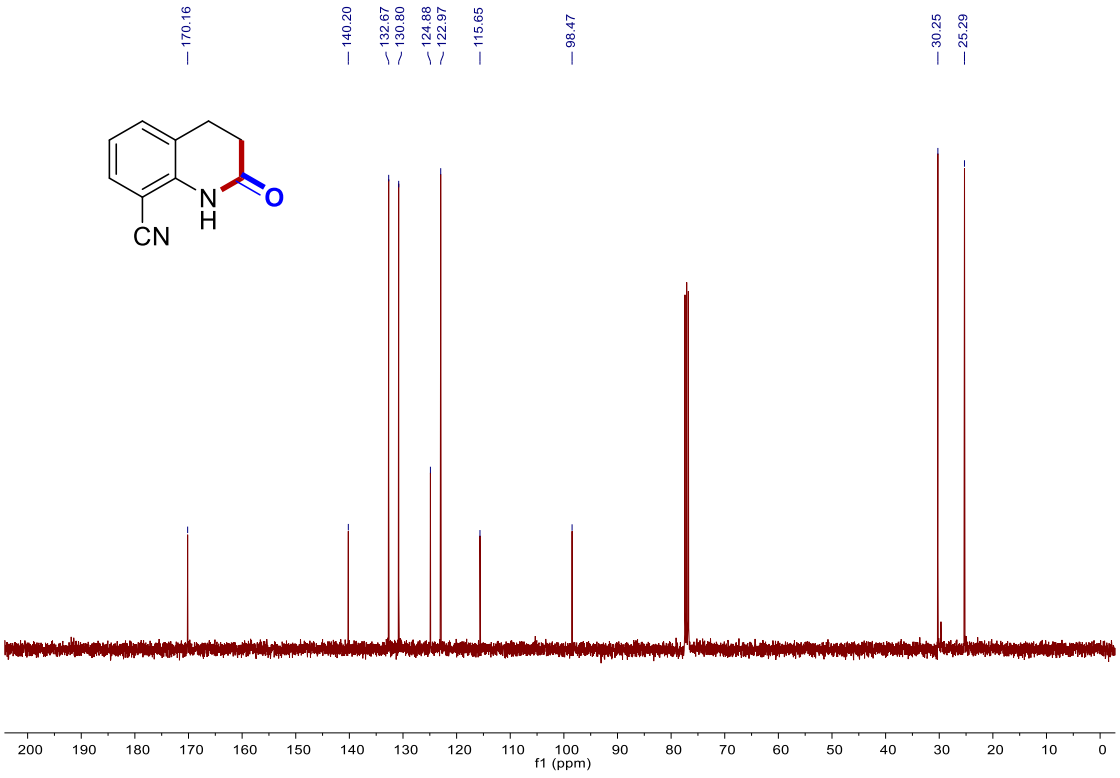
^{13}C NMR of Compound 15 (100 MHz, $CDCl_3$):



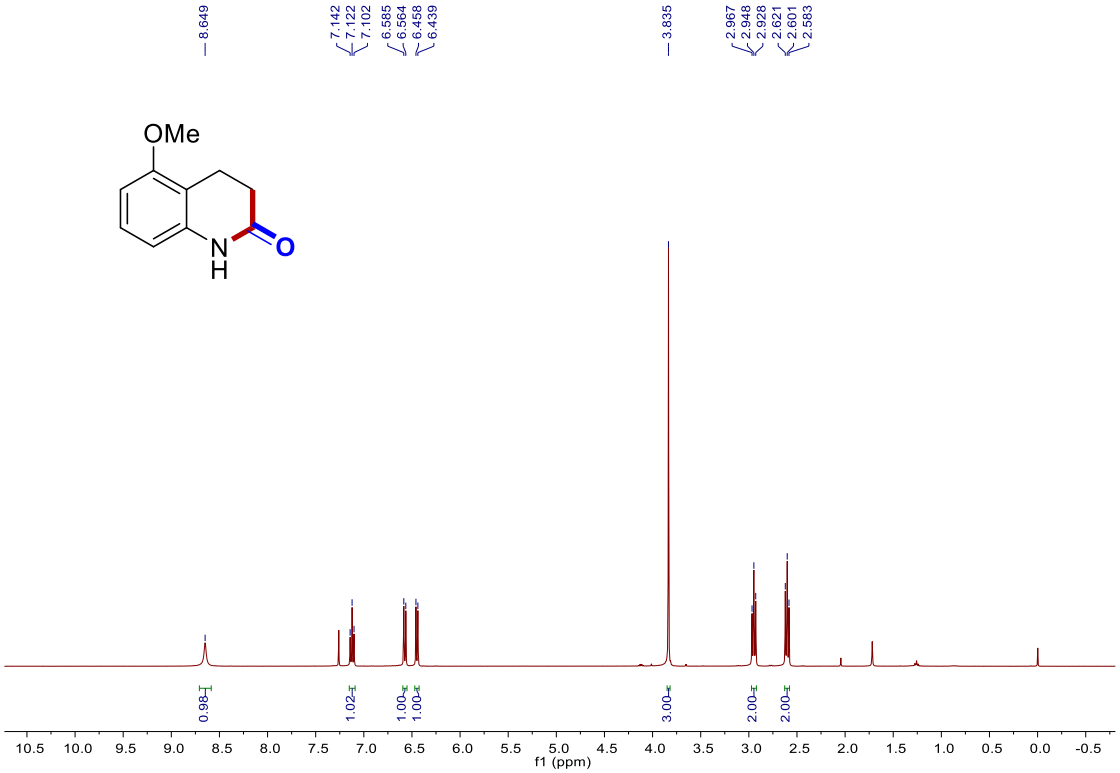
^{1}H NMR of compound 16 (400 MHz, $CDCl_3$):



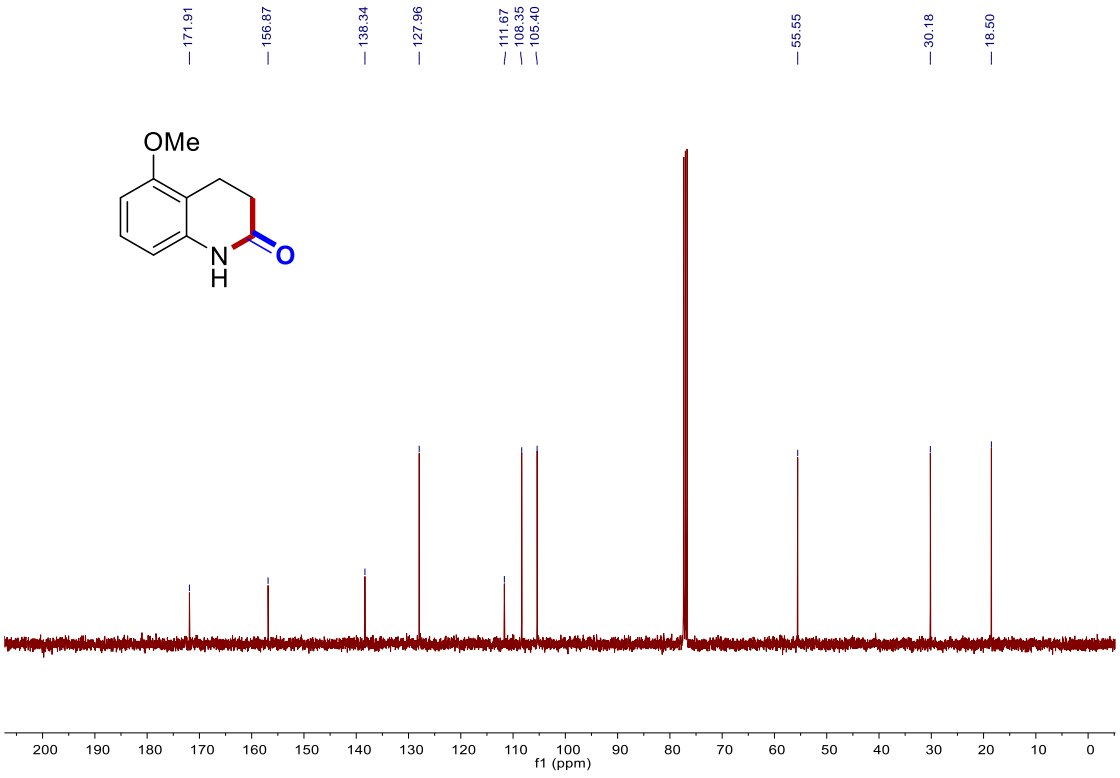
^{13}C NMR of Compound 16 (100 MHz, $CDCl_3$):



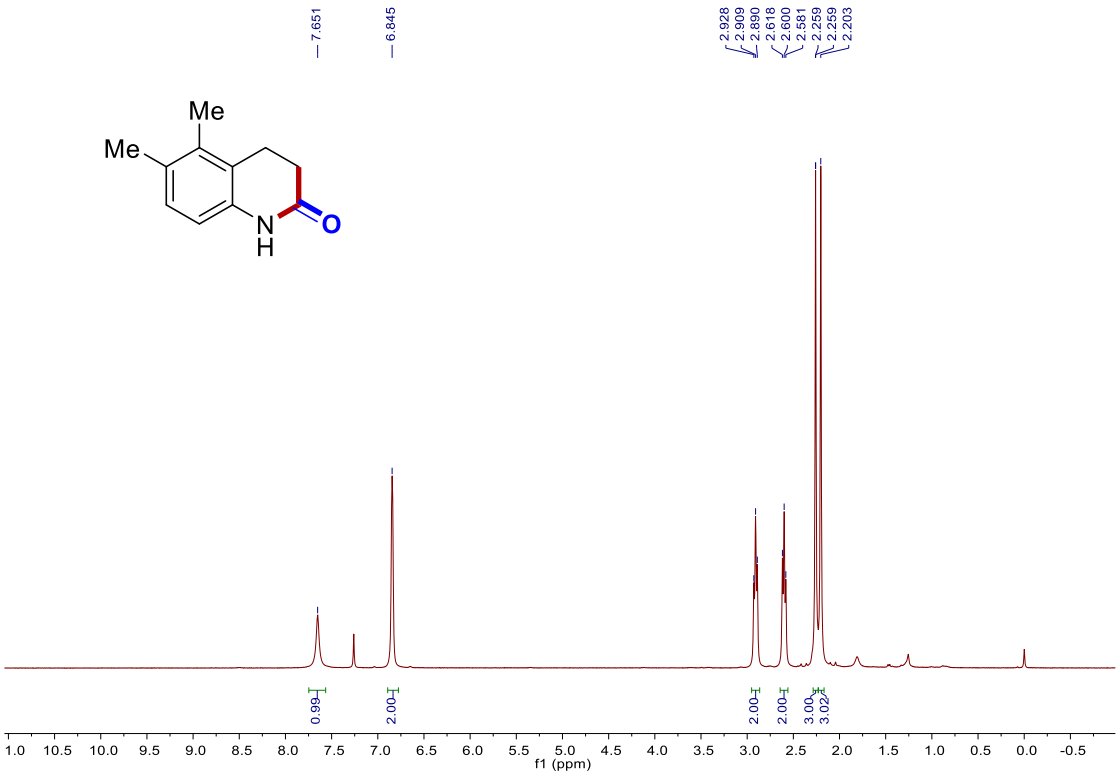
^{1}H NMR of compound 17 (400 MHz, $CDCl_3$):



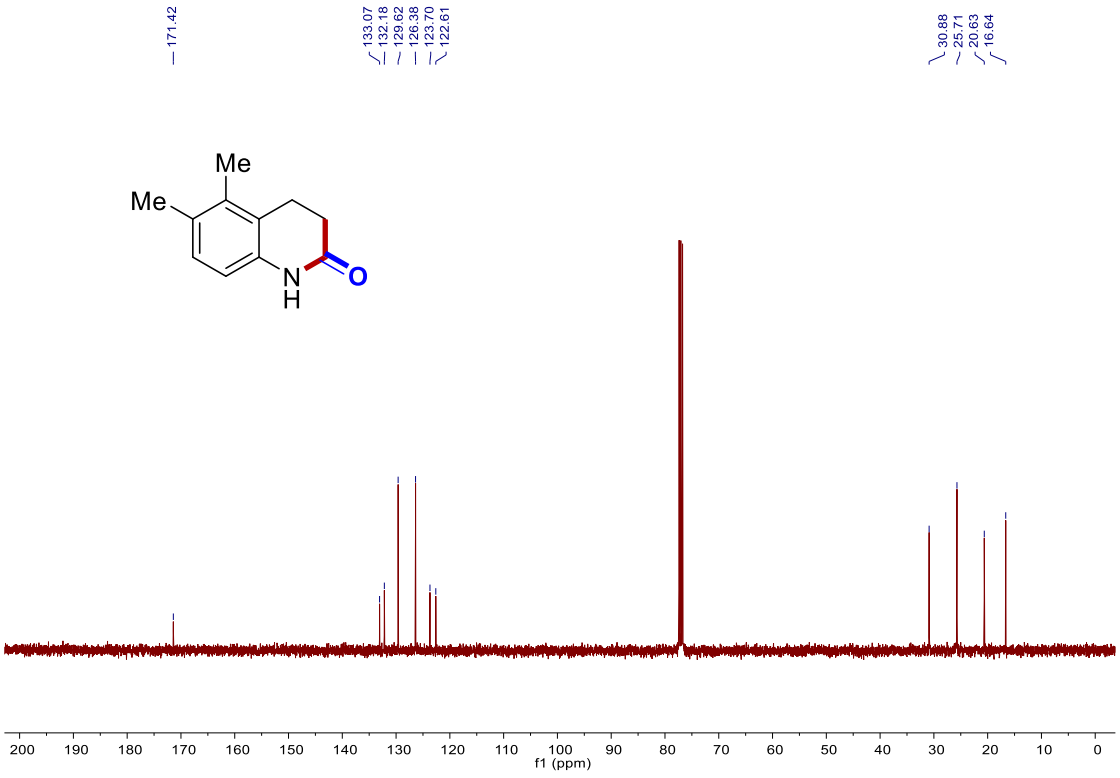
^{13}C NMR of Compound 17 (100 MHz, $CDCl_3$):



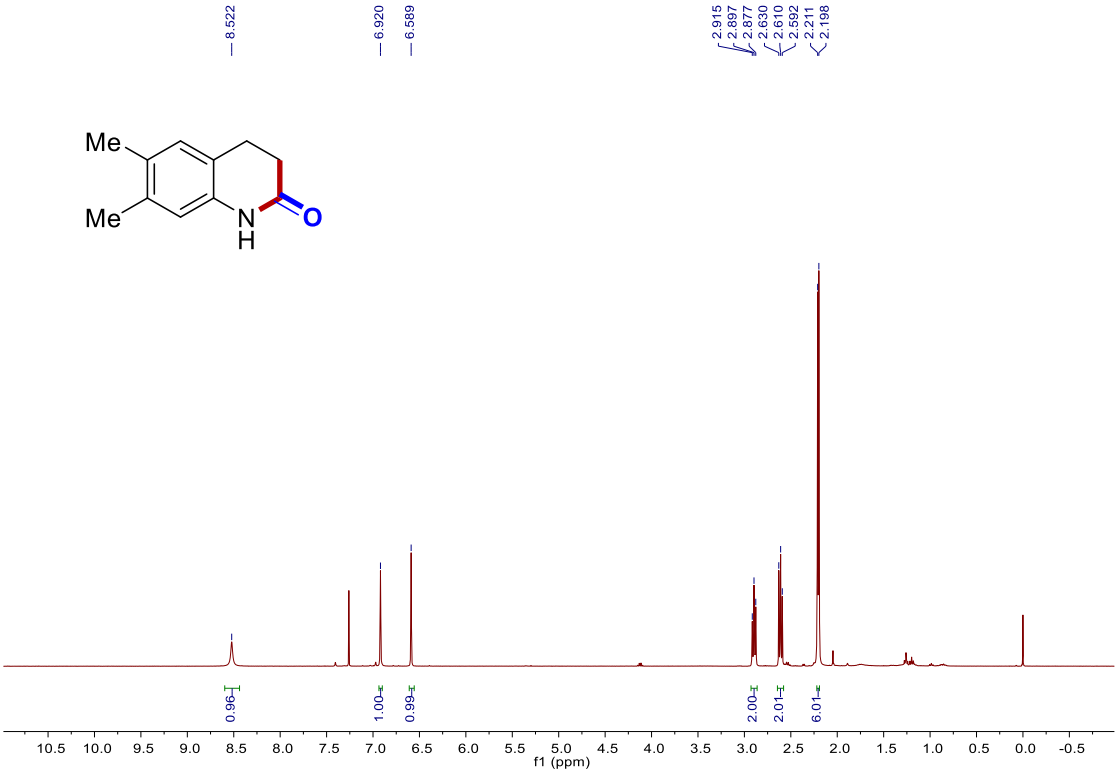
^{1}H NMR of compound 18 (400 MHz, $CDCl_3$):



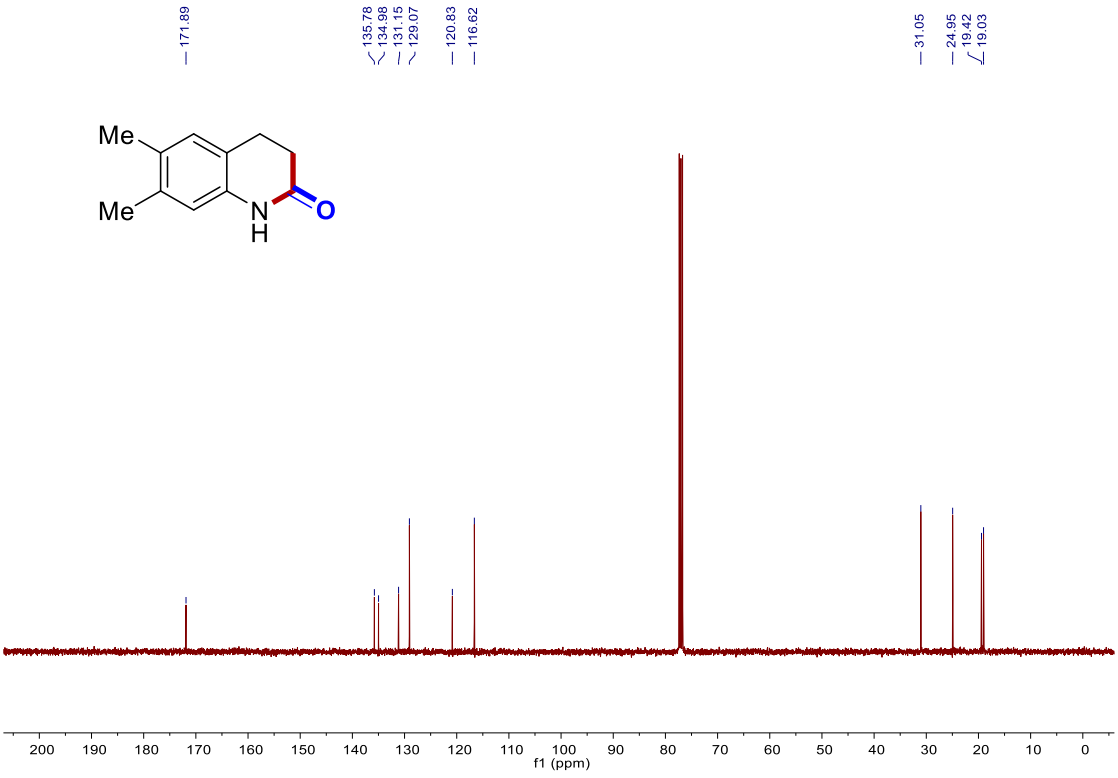
^{13}C NMR of Compound 18 (100 MHz, $CDCl_3$):



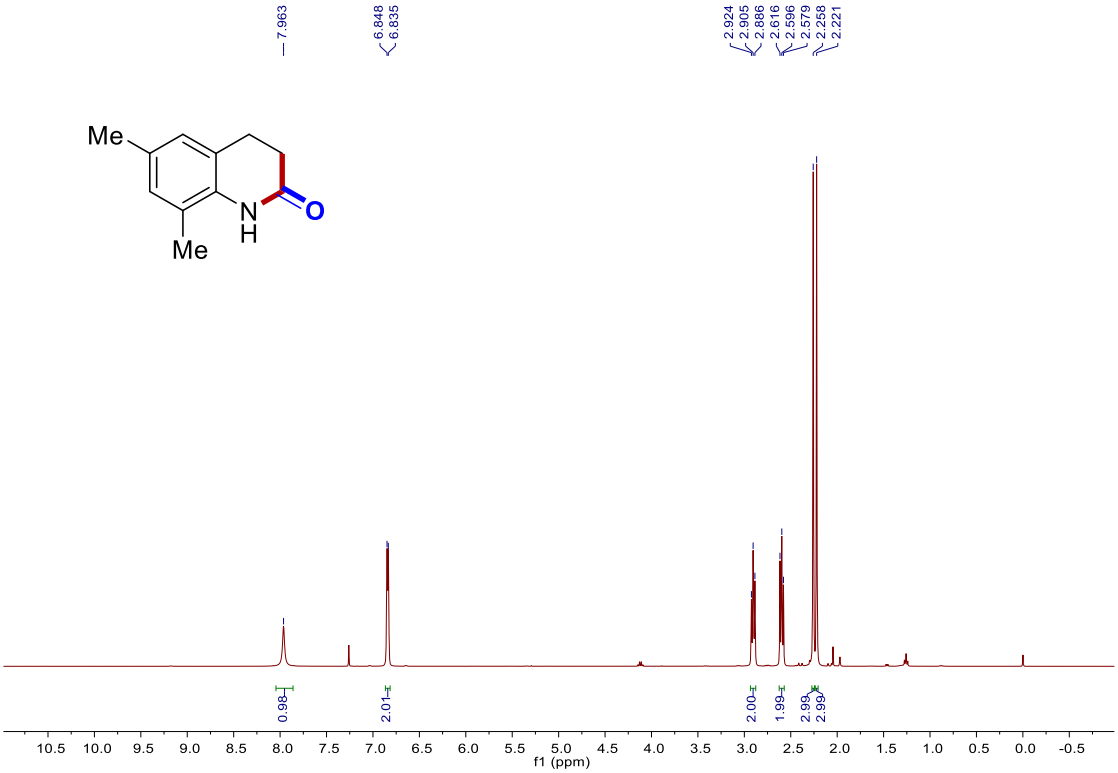
^{1}H NMR of compound 19 (400 MHz, $CDCl_3$):



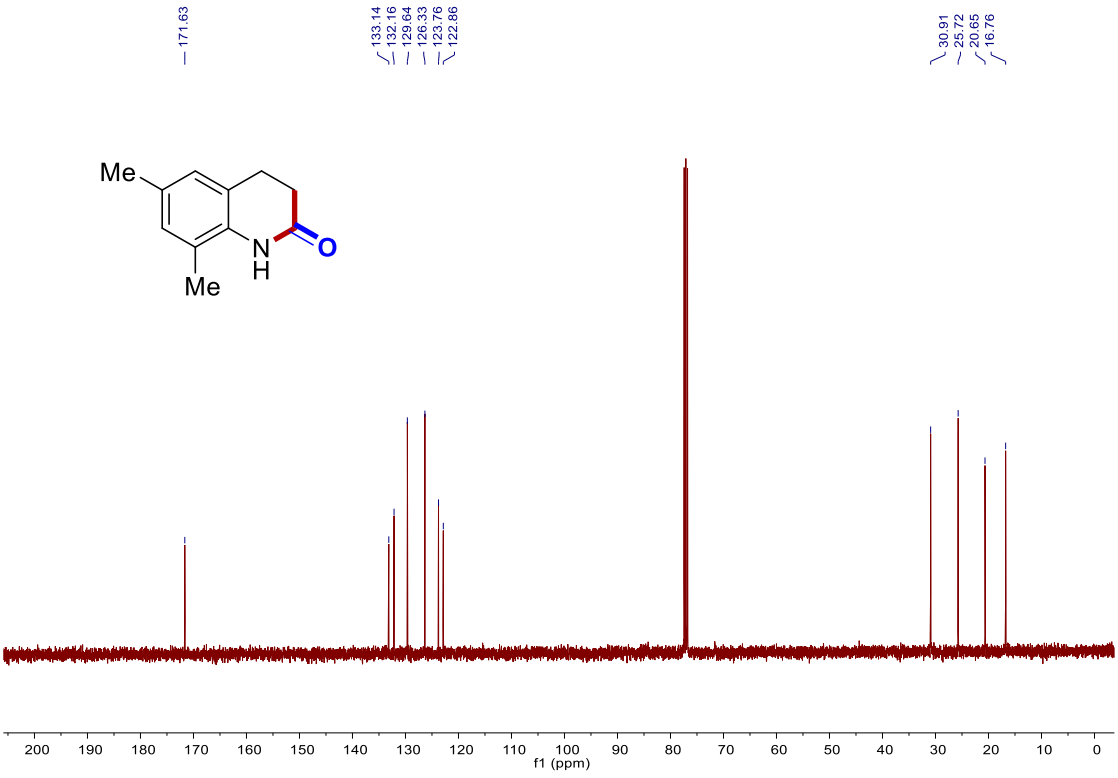
^{13}C NMR of Compound 19 (100 MHz, $CDCl_3$):



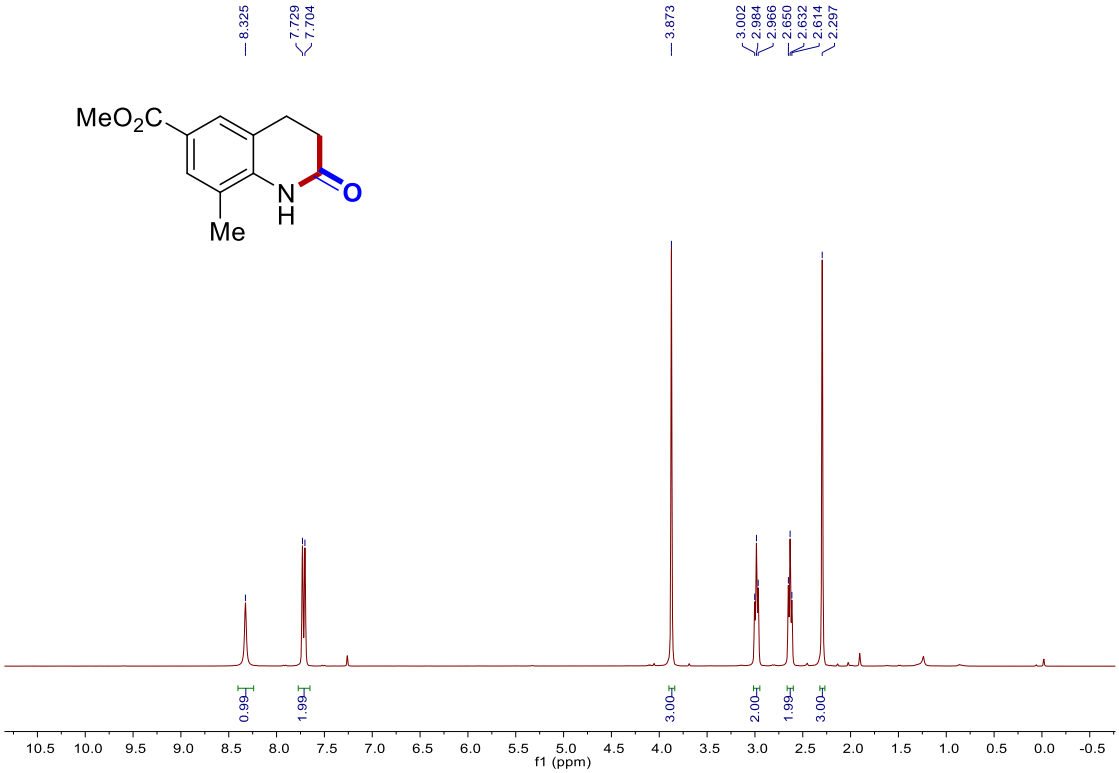
^{1}H NMR of compound 20 (400 MHz, $CDCl_3$):



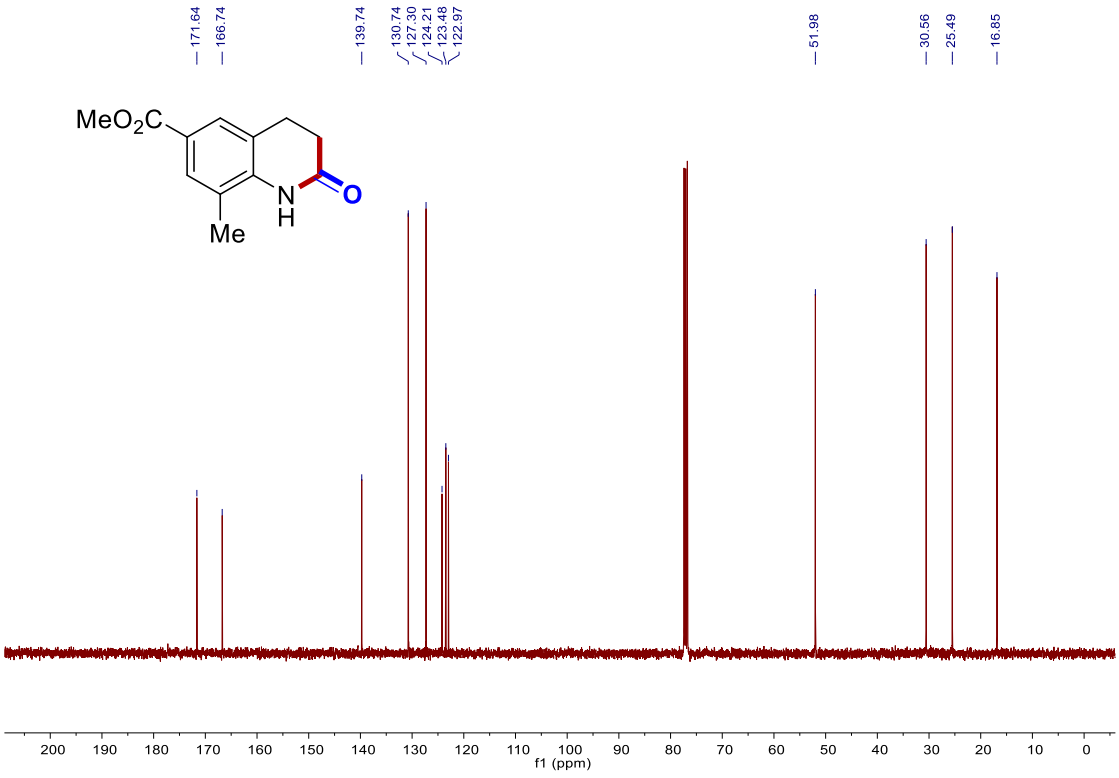
^{13}C NMR of Compound 20 (100 MHz, $CDCl_3$):



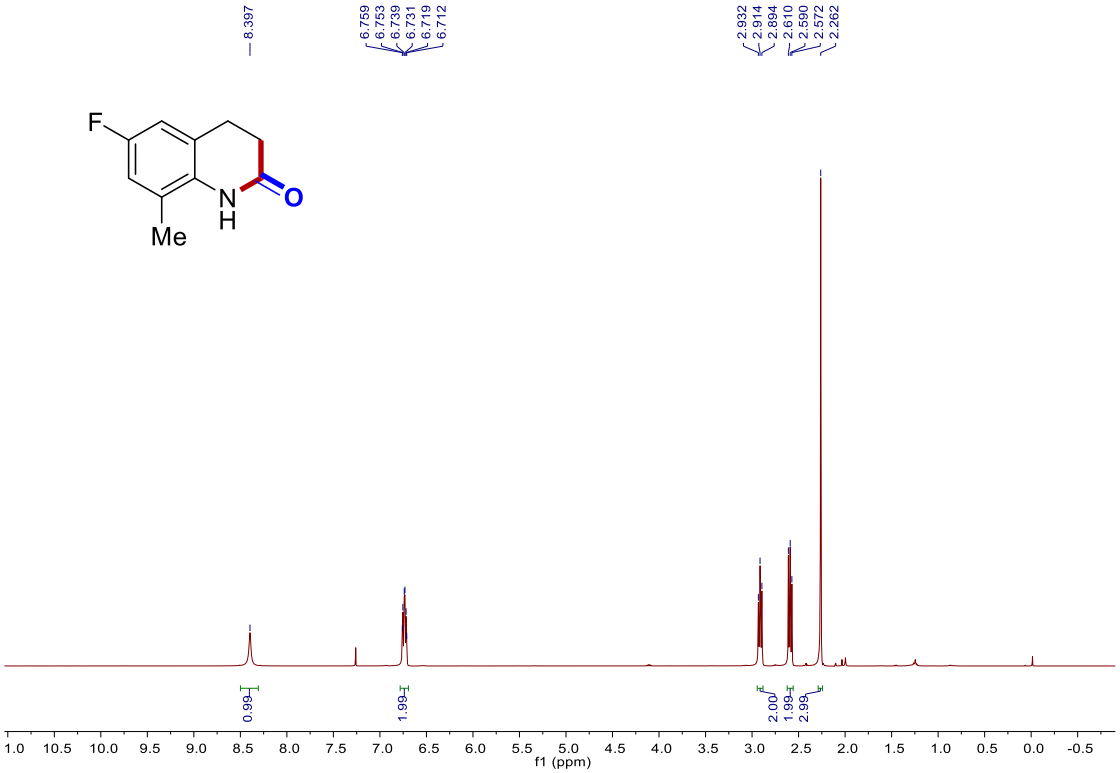
^{1}H NMR of compound 21 (400 MHz, $CDCl_3$):



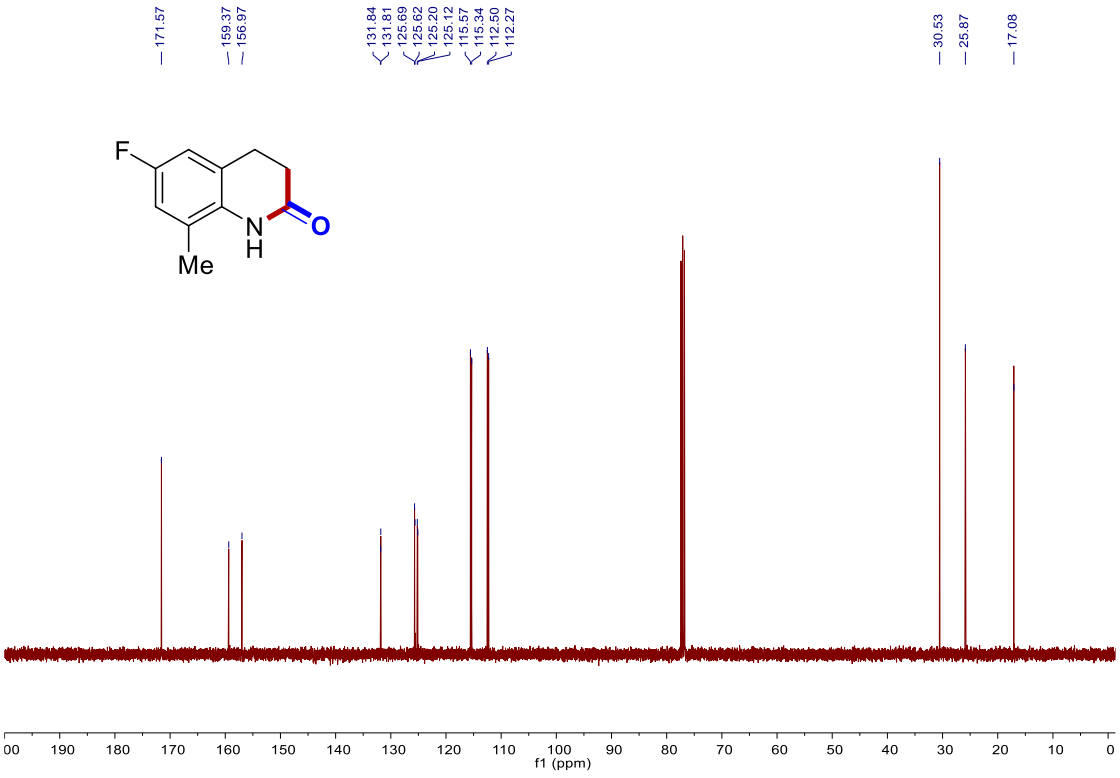
^{13}C NMR of Compound 21 (100 MHz, $CDCl_3$):



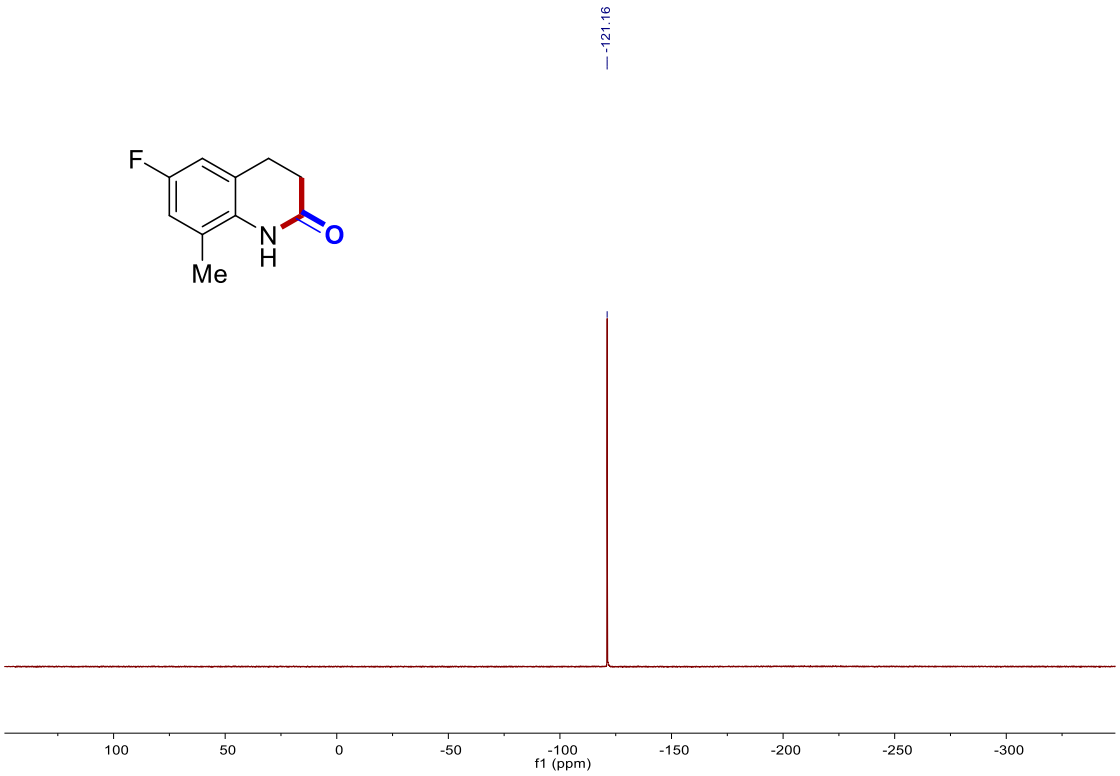
^{1}H NMR of compound 22 (400 MHz, $CDCl_3$):



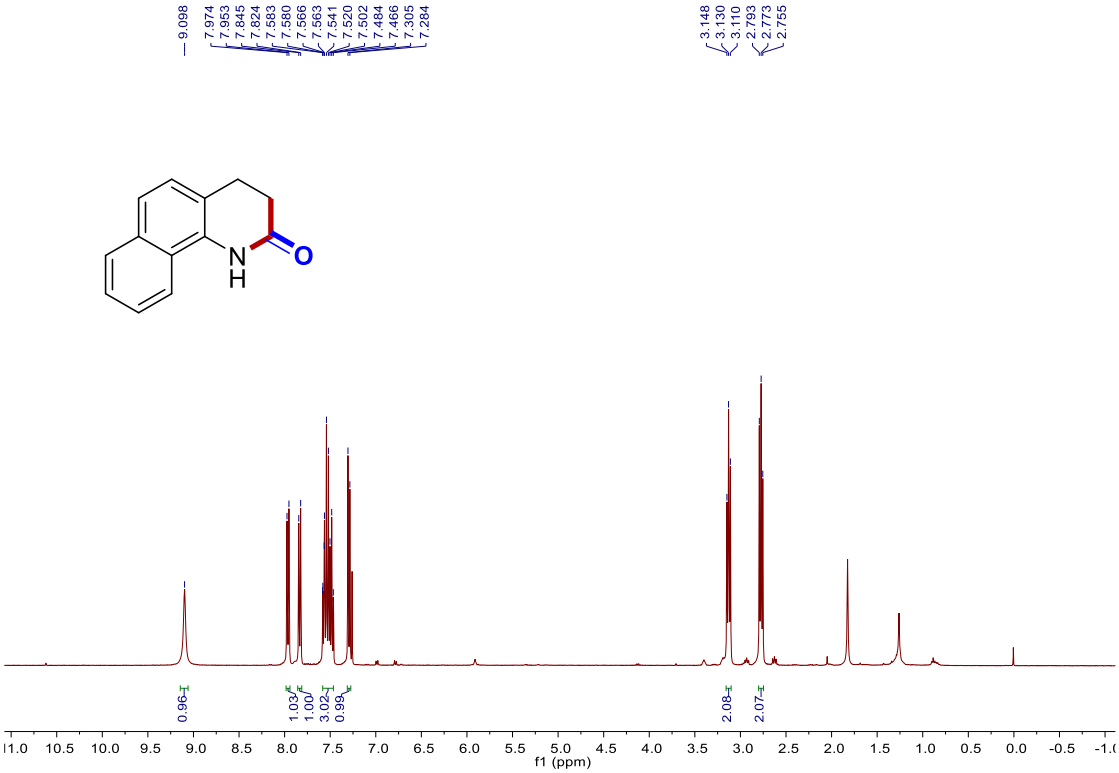
^{13}C NMR of Compound 22 (100 MHz, $CDCl_3$):



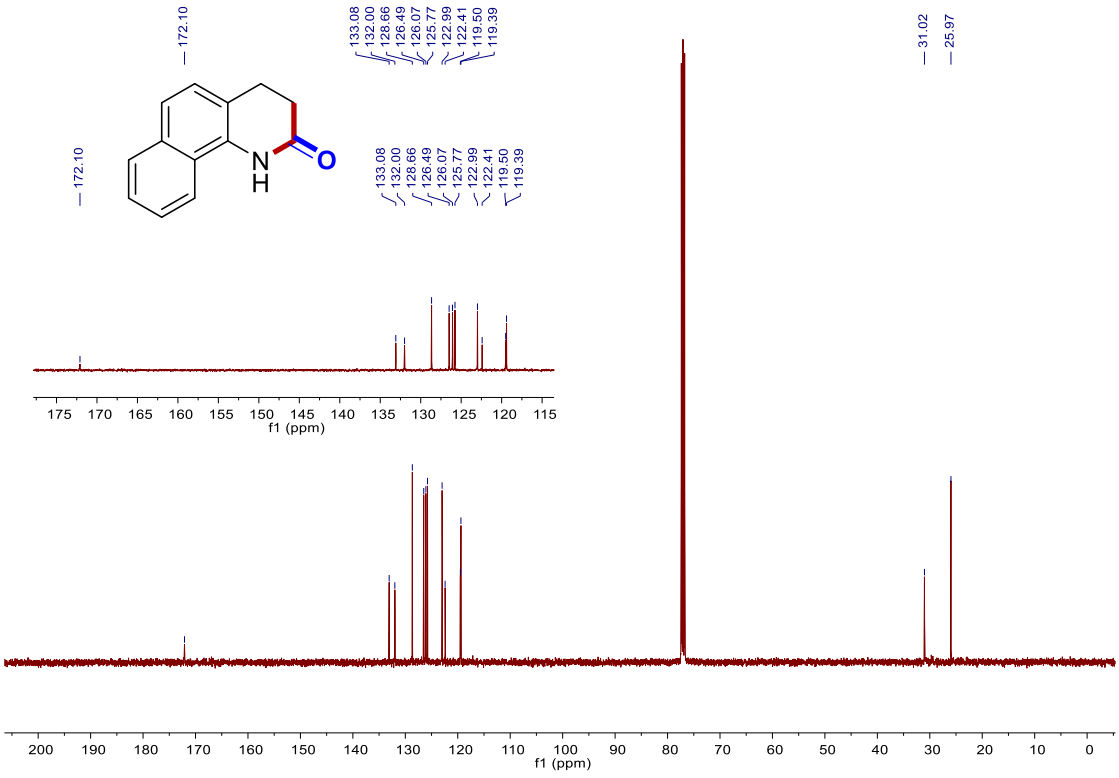
^{19}F NMR of Compound 22 (375 MHz, $CDCl_3$):



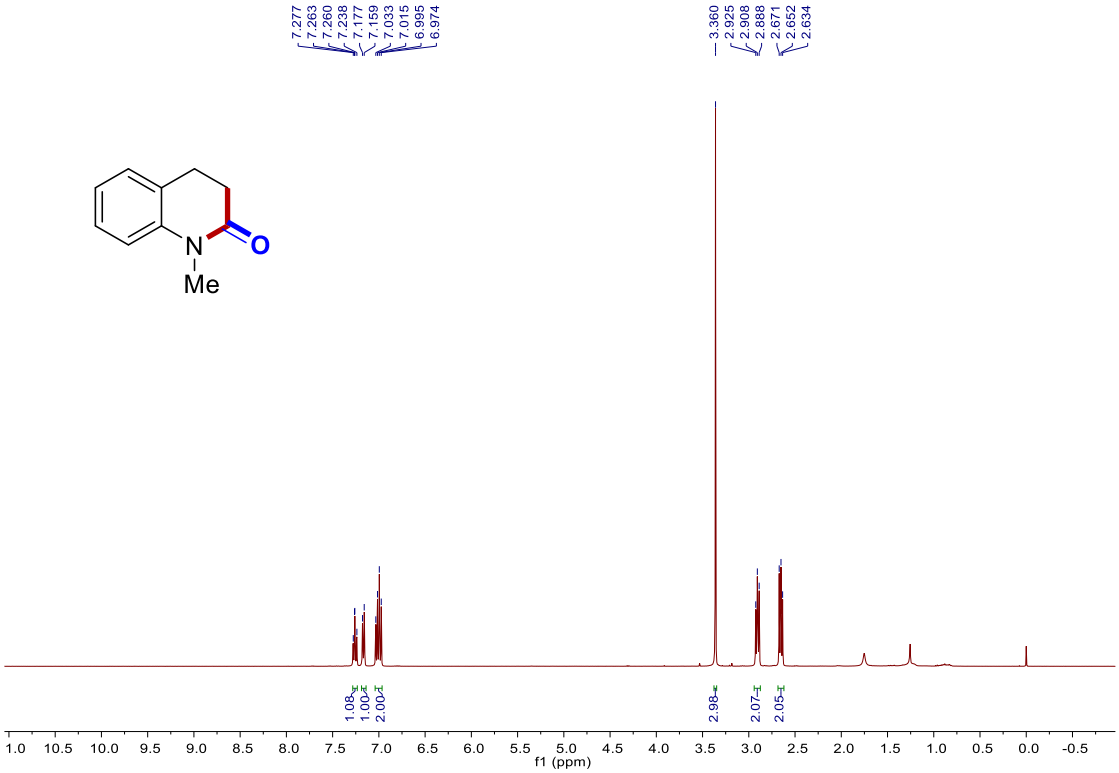
^{1}H NMR of compound 23 (400 MHz, $CDCl_3$):



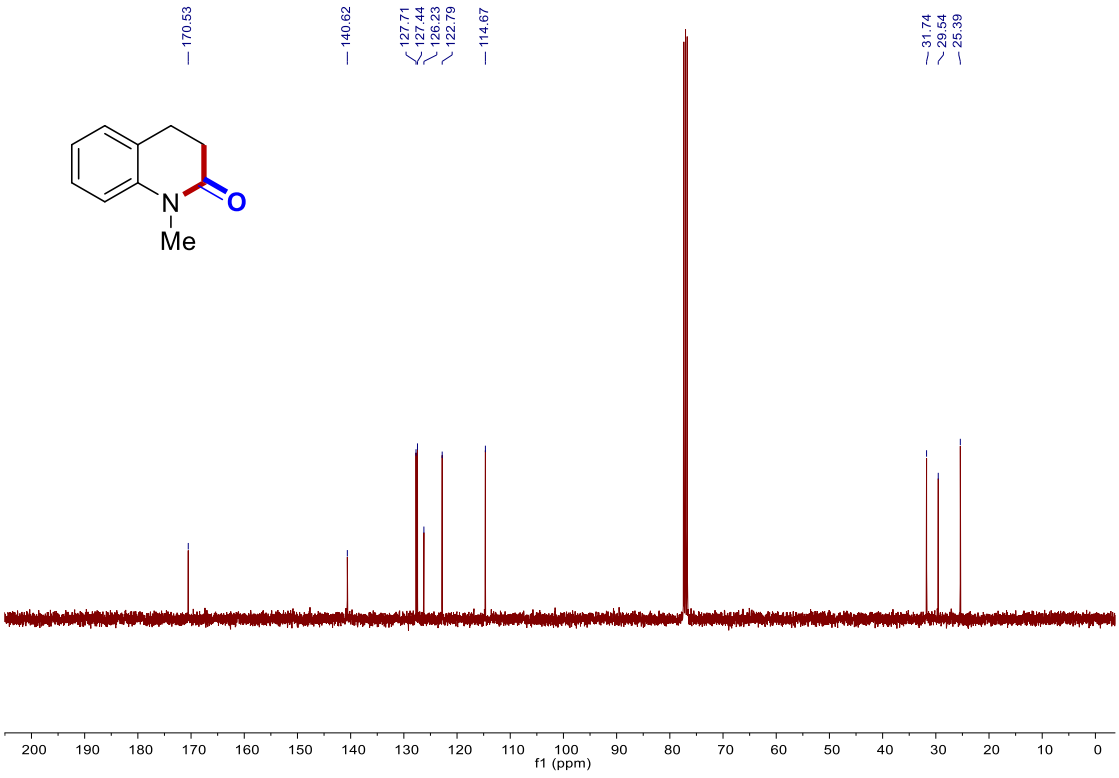
^{13}C NMR of Compound 23 (100 MHz, $CDCl_3$):



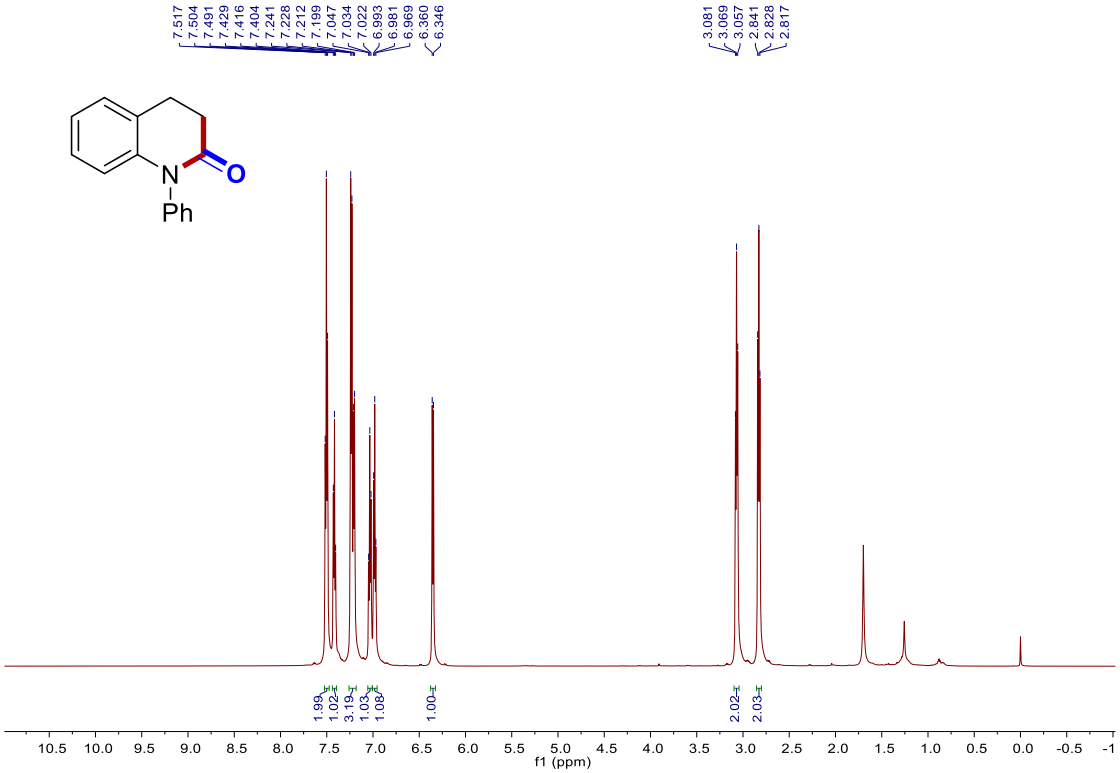
^{1}H NMR of compound 24 (400 MHz, $CDCl_3$):



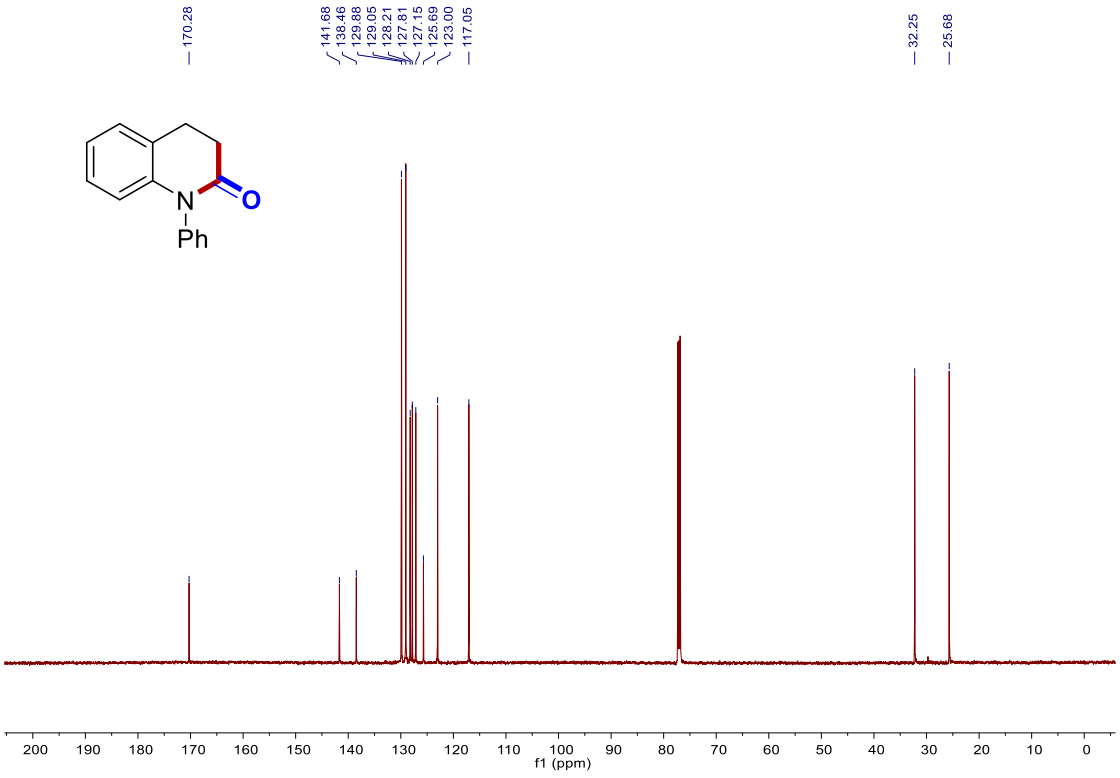
^{13}C NMR of Compound 24 (100 MHz, $CDCl_3$):



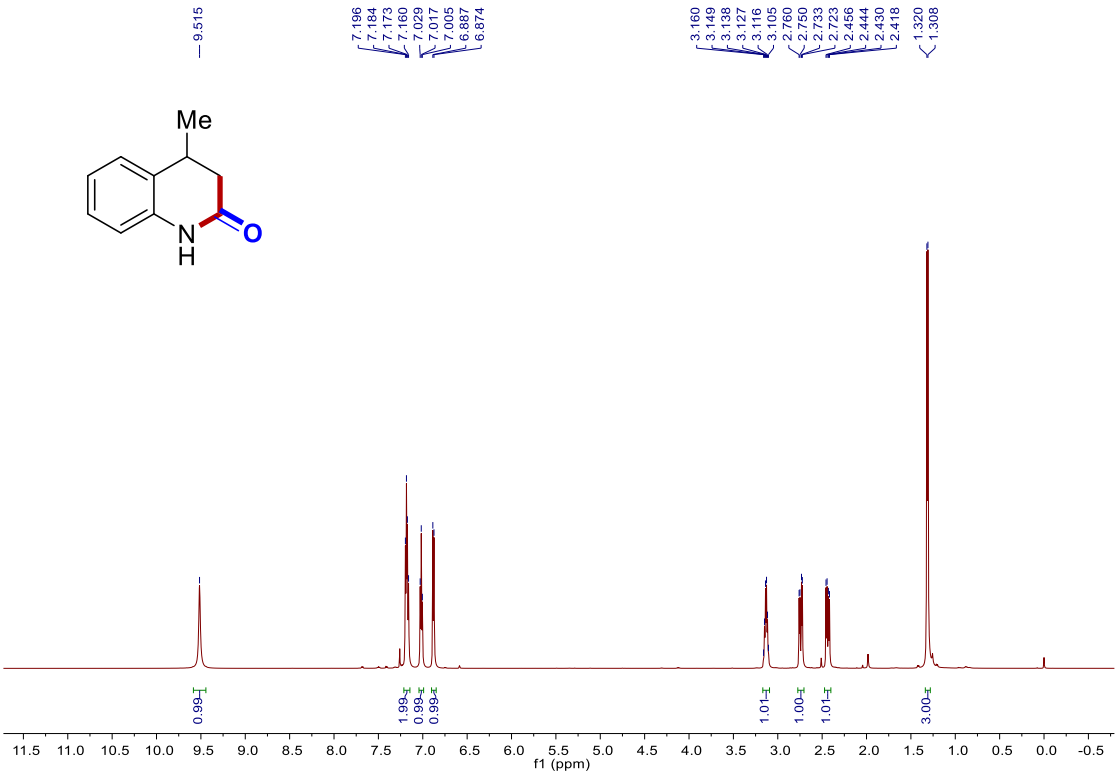
^{1}H NMR of compound 25 (400 MHz, $CDCl_3$):



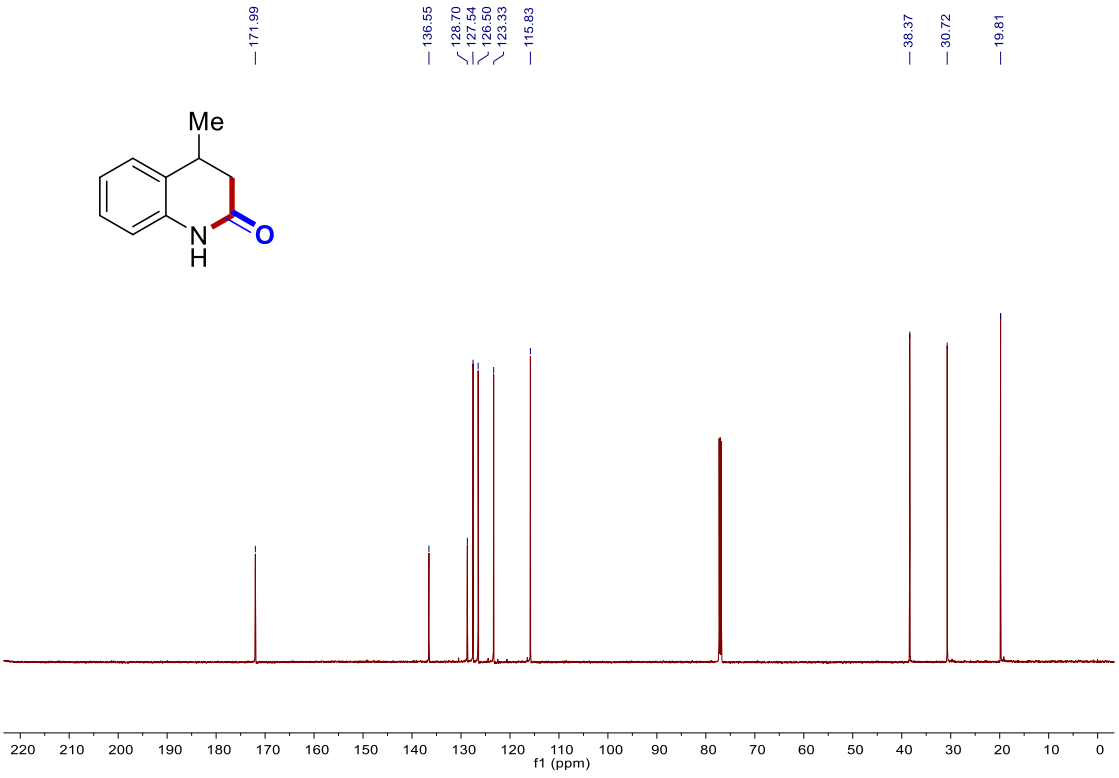
^{13}C NMR of Compound 25 (100 MHz, $CDCl_3$):



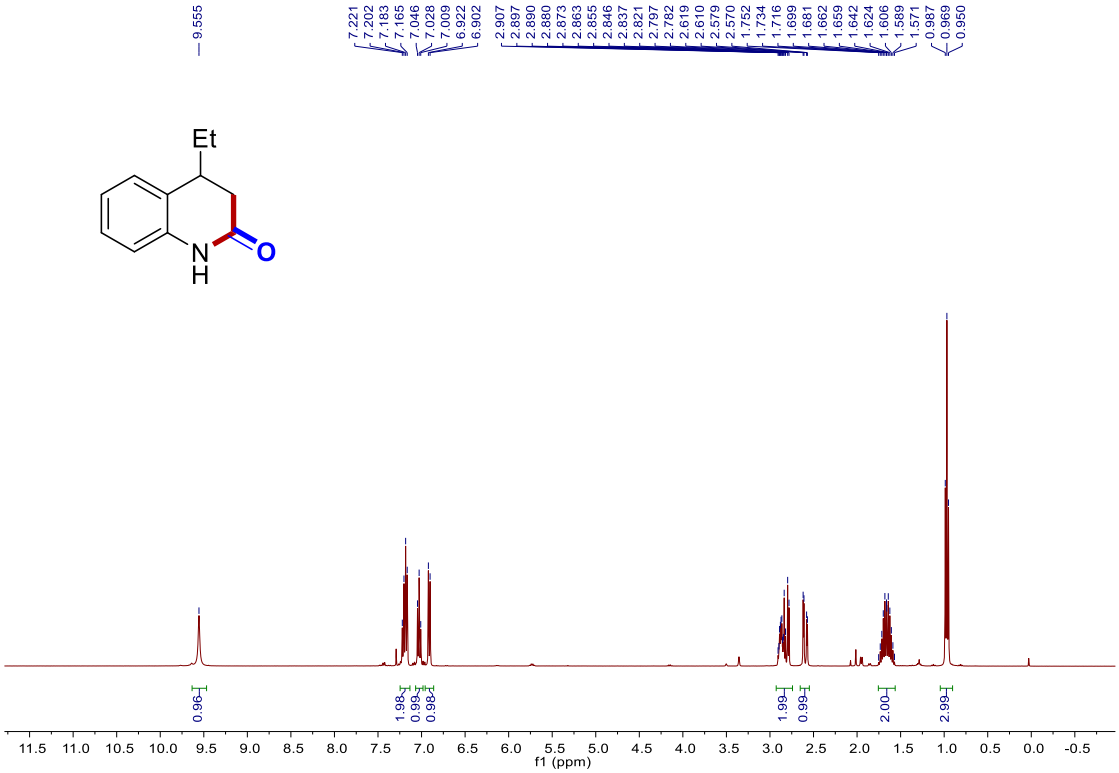
^{1}H NMR of compound 26 (600 MHz, $CDCl_3$):



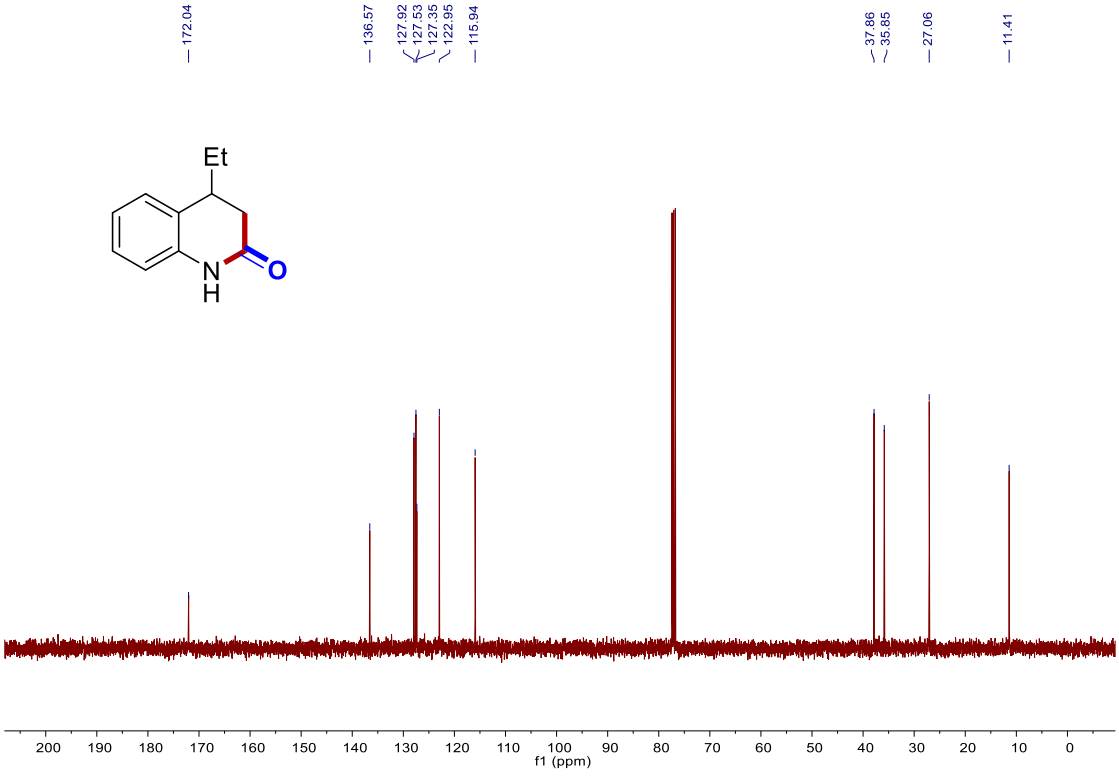
^{13}C NMR of Compound 26 (150 MHz, $CDCl_3$):



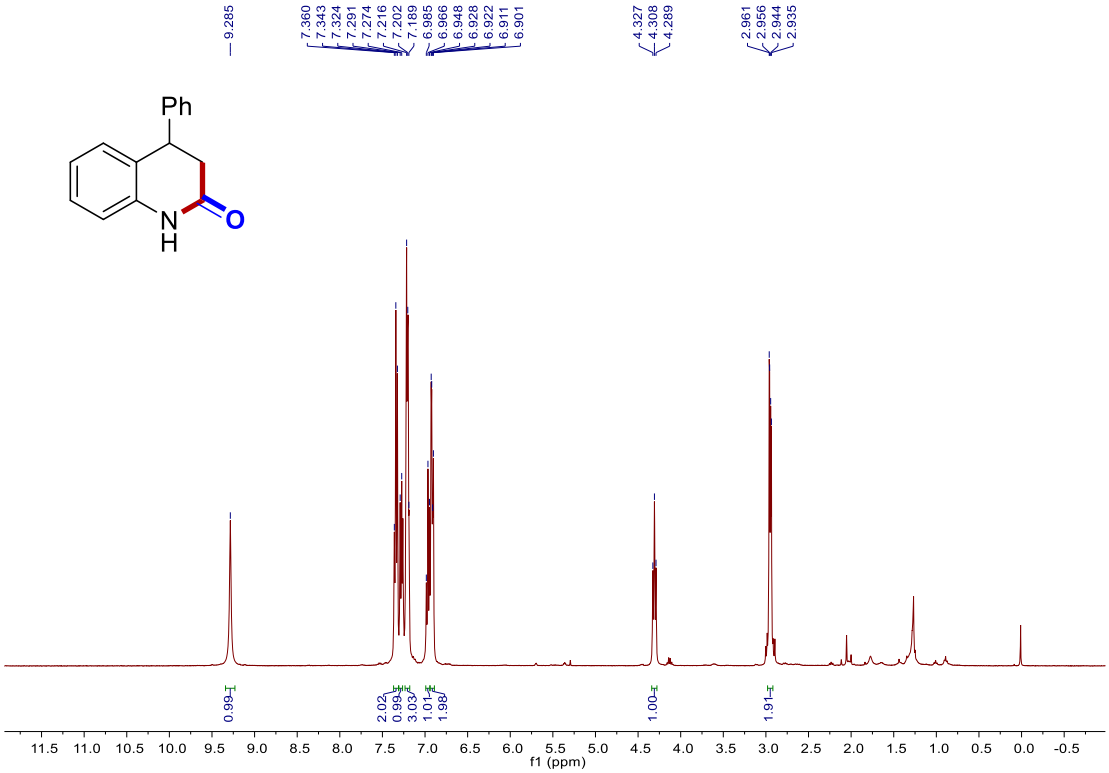
^{1}H NMR of compound 27 (400 MHz, $CDCl_3$):



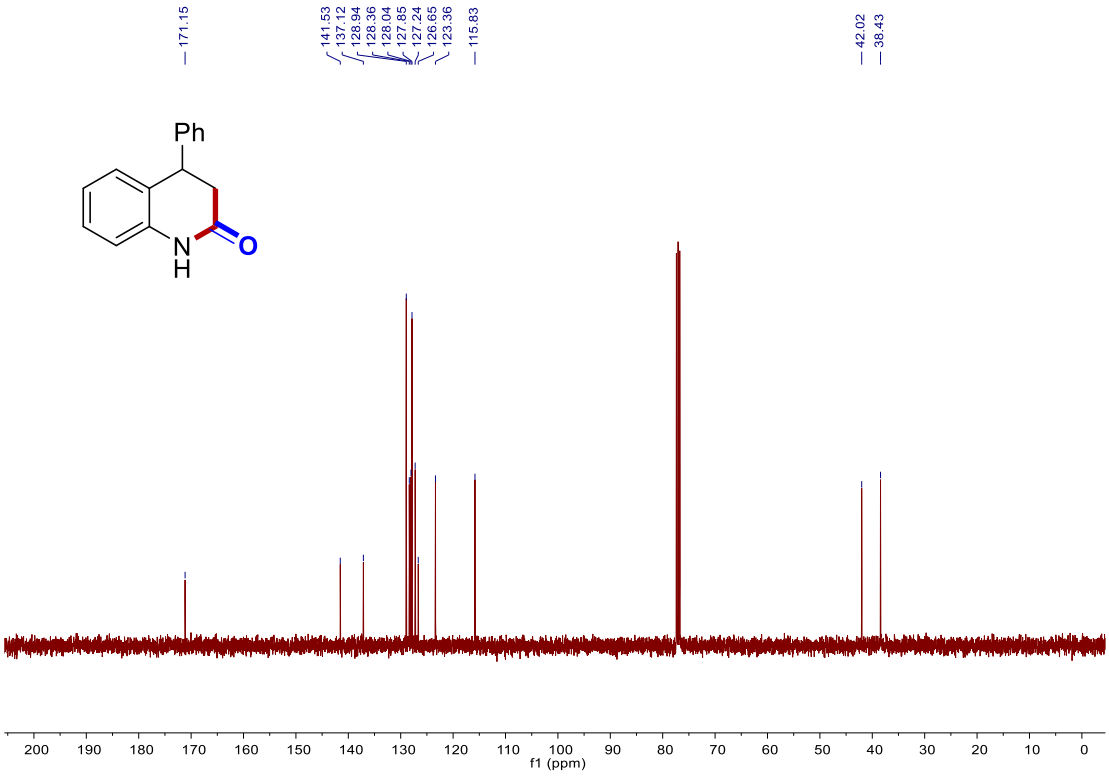
^{13}C NMR of Compound 27 (100 MHz, $CDCl_3$):



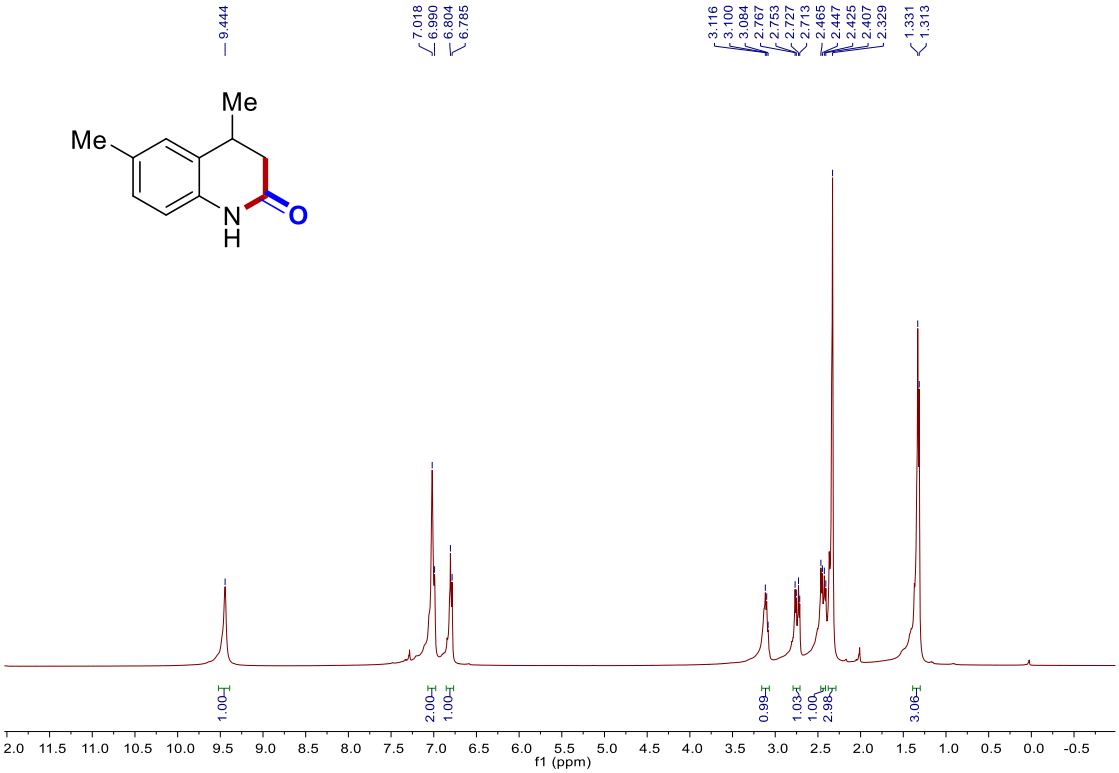
^{1}H NMR of compound 28 (400 MHz, $CDCl_3$):



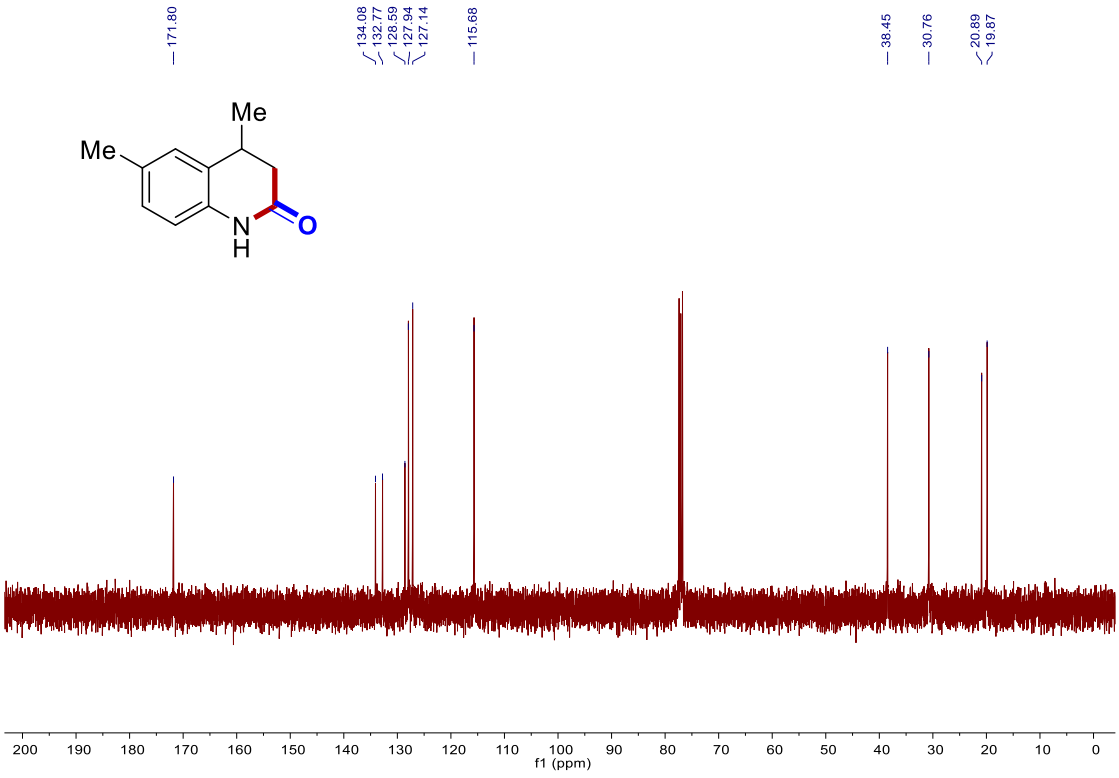
^{13}C NMR of Compound 28 (100 MHz, $CDCl_3$):



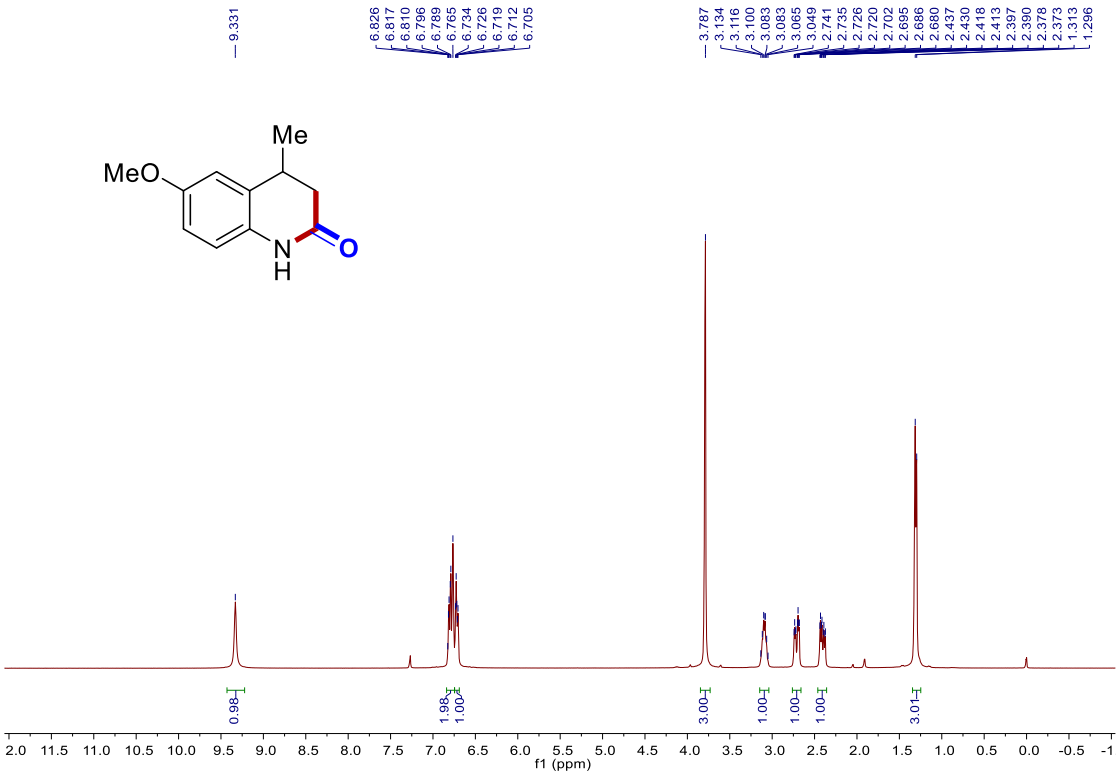
^{1}H NMR of compound 29 (400 MHz, $CDCl_3$):



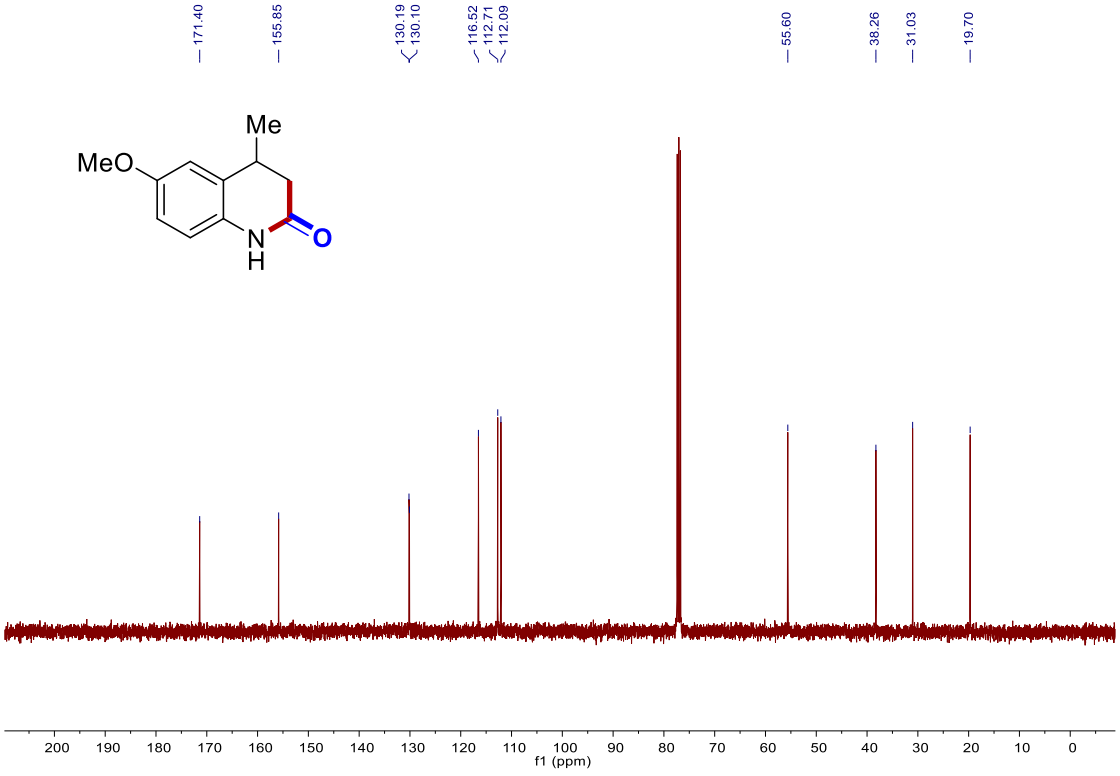
^{13}C NMR of Compound 29 (100 MHz, $CDCl_3$):



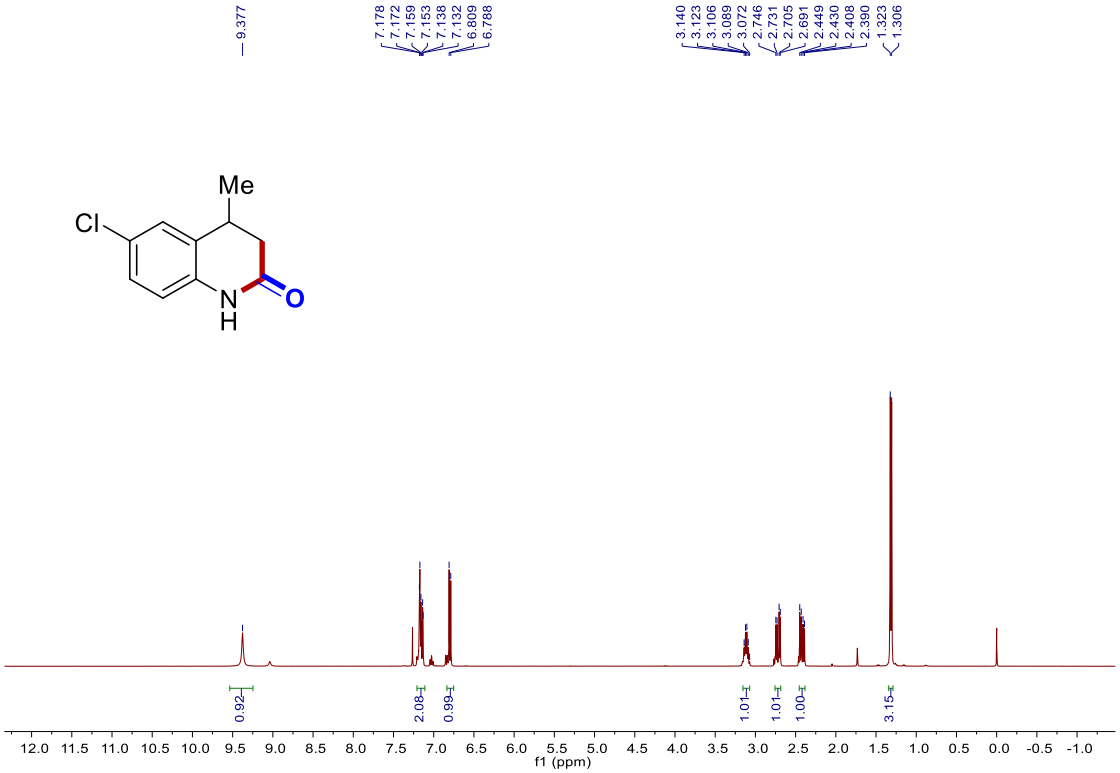
^{1}H NMR of compound 30 (400 MHz, $CDCl_3$):



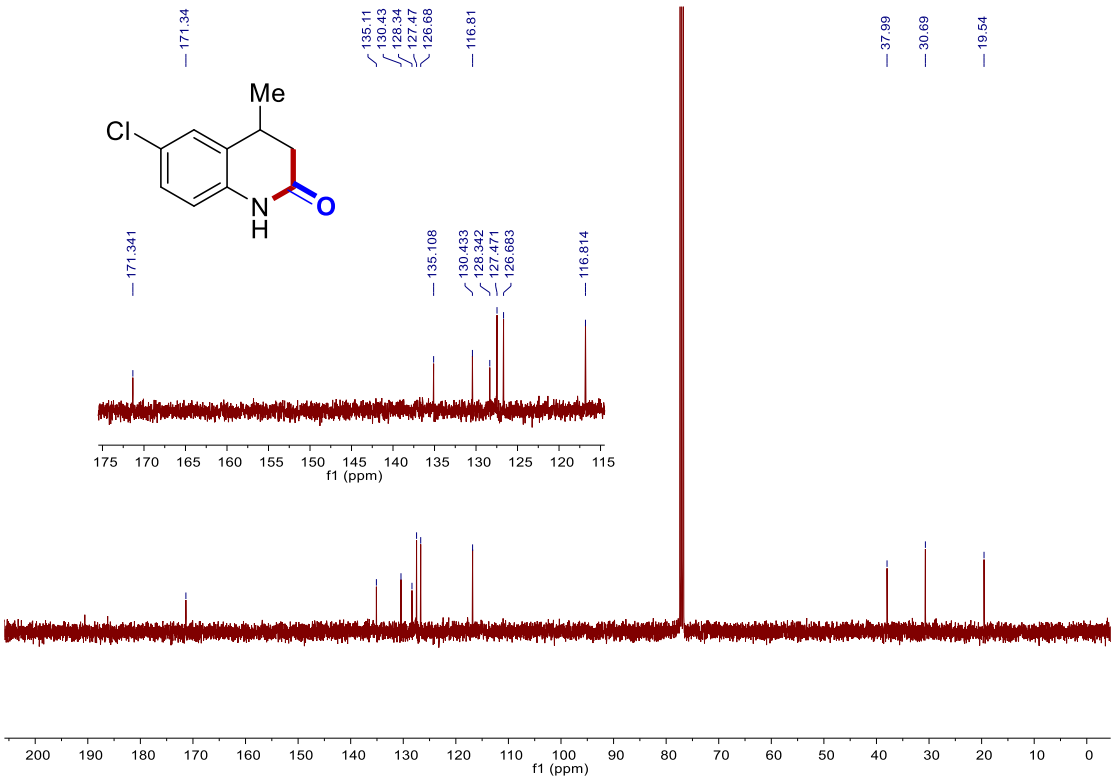
^{13}C NMR of Compound 30 (100 MHz, $CDCl_3$):



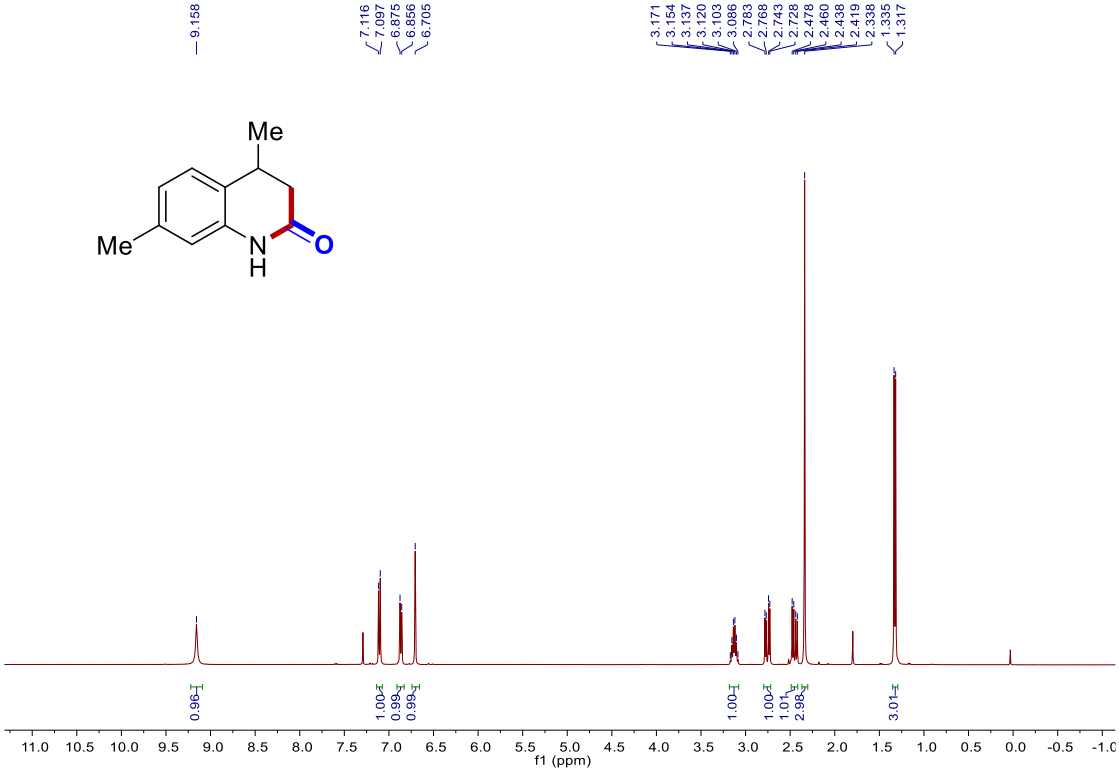
^{1}H NMR of compound 31 (400 MHz, $CDCl_3$):



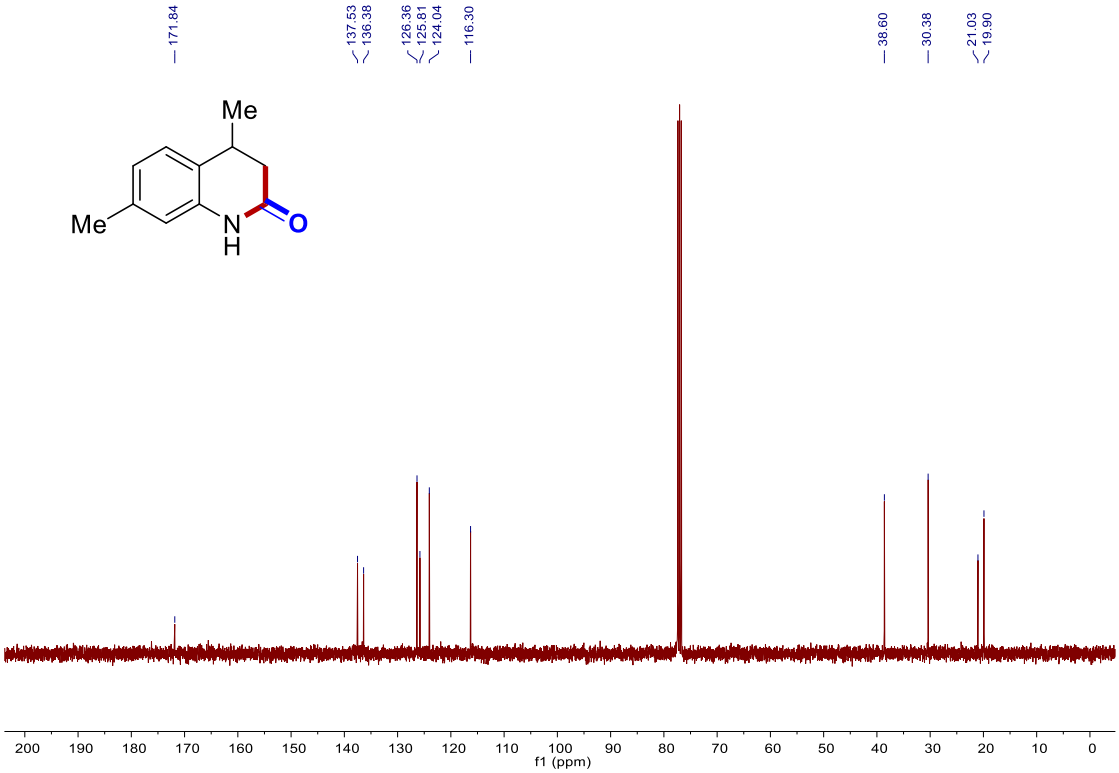
^{13}C NMR of Compound 31 (100 MHz, $CDCl_3$):



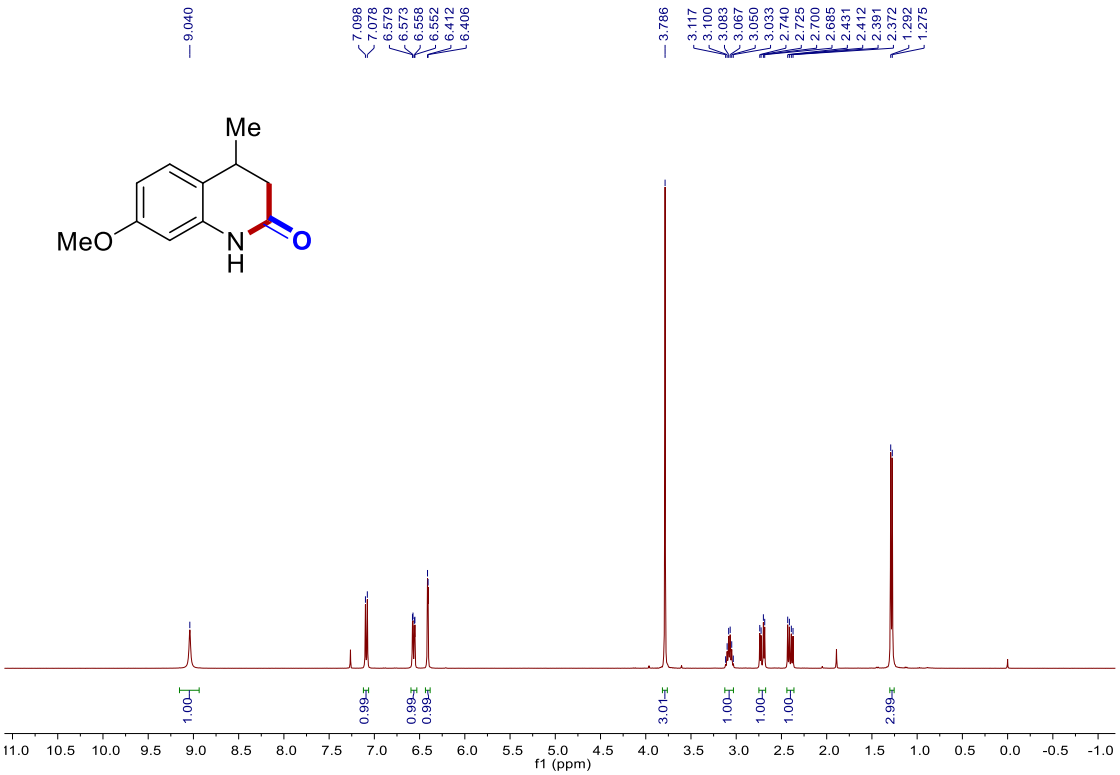
^{1}H NMR of compound 32 (400 MHz, $CDCl_3$):



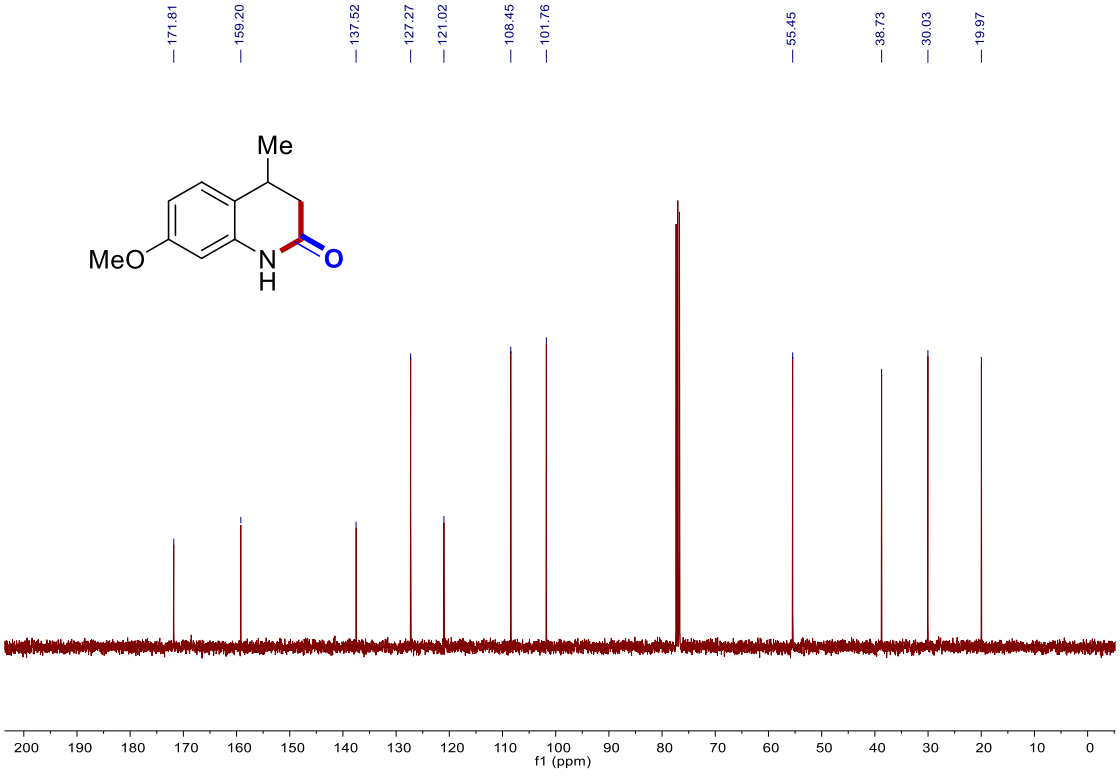
^{13}C NMR of Compound 32 (100 MHz, $CDCl_3$):



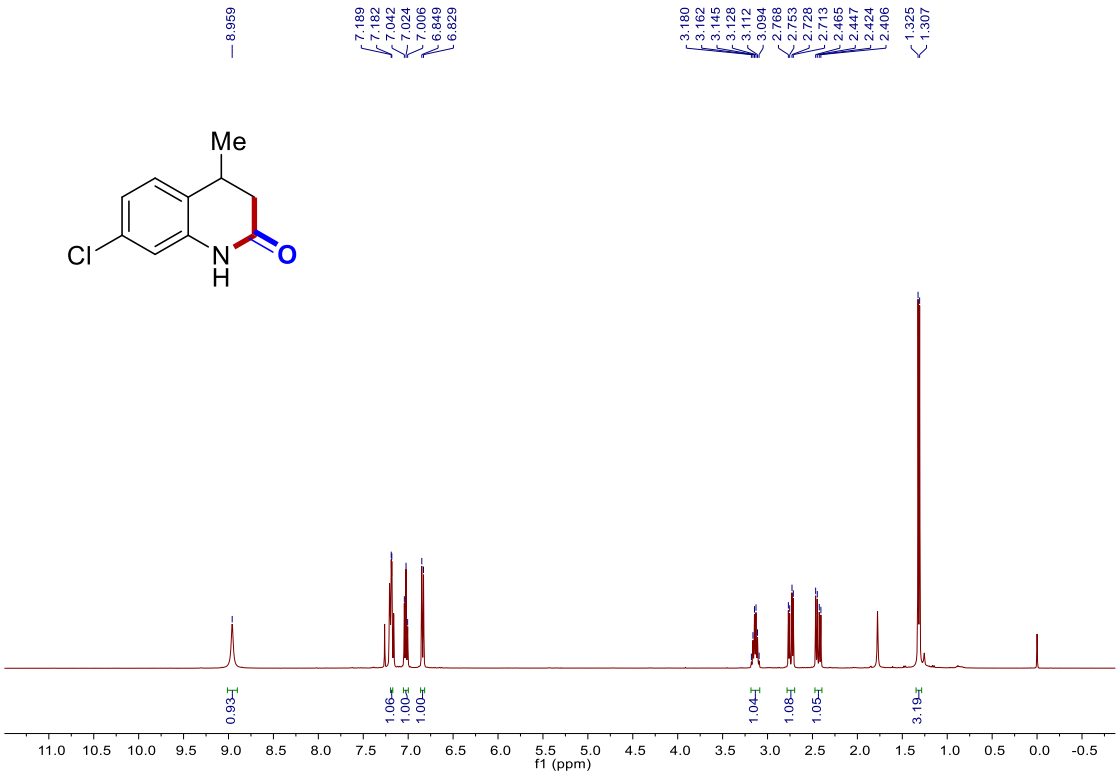
^{1}H NMR of compound 33 (400 MHz, $CDCl_3$):



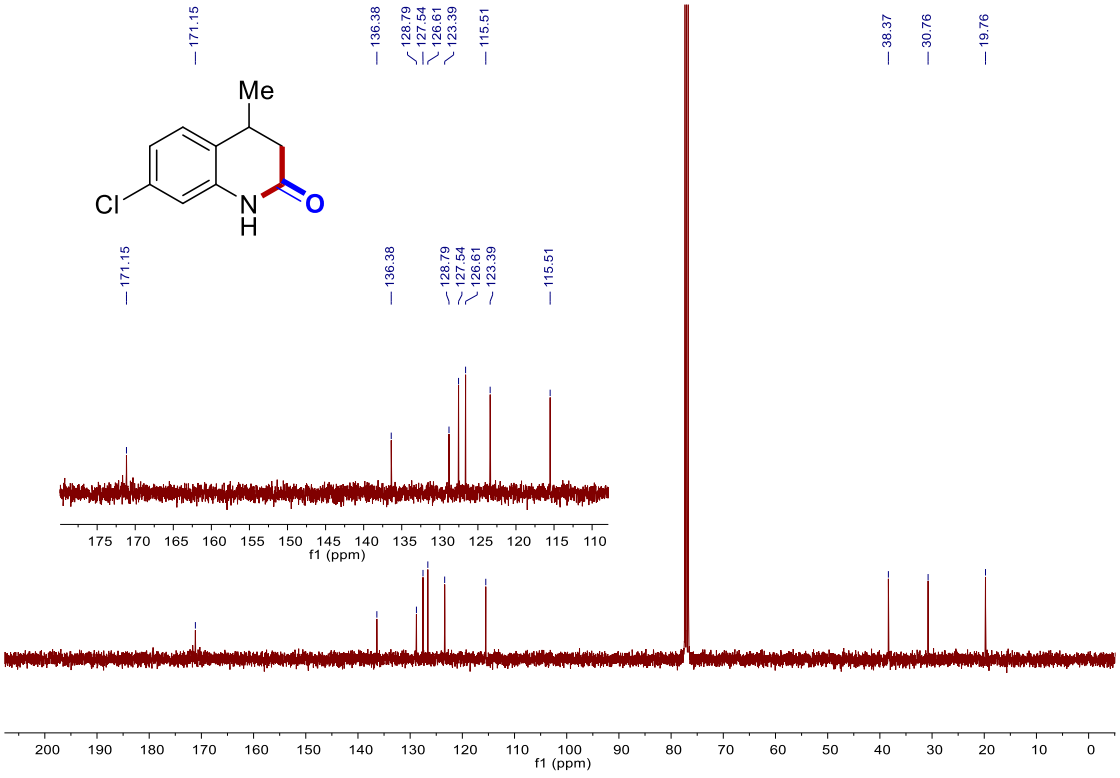
^{13}C NMR of Compound 33 (100 MHz, $CDCl_3$):



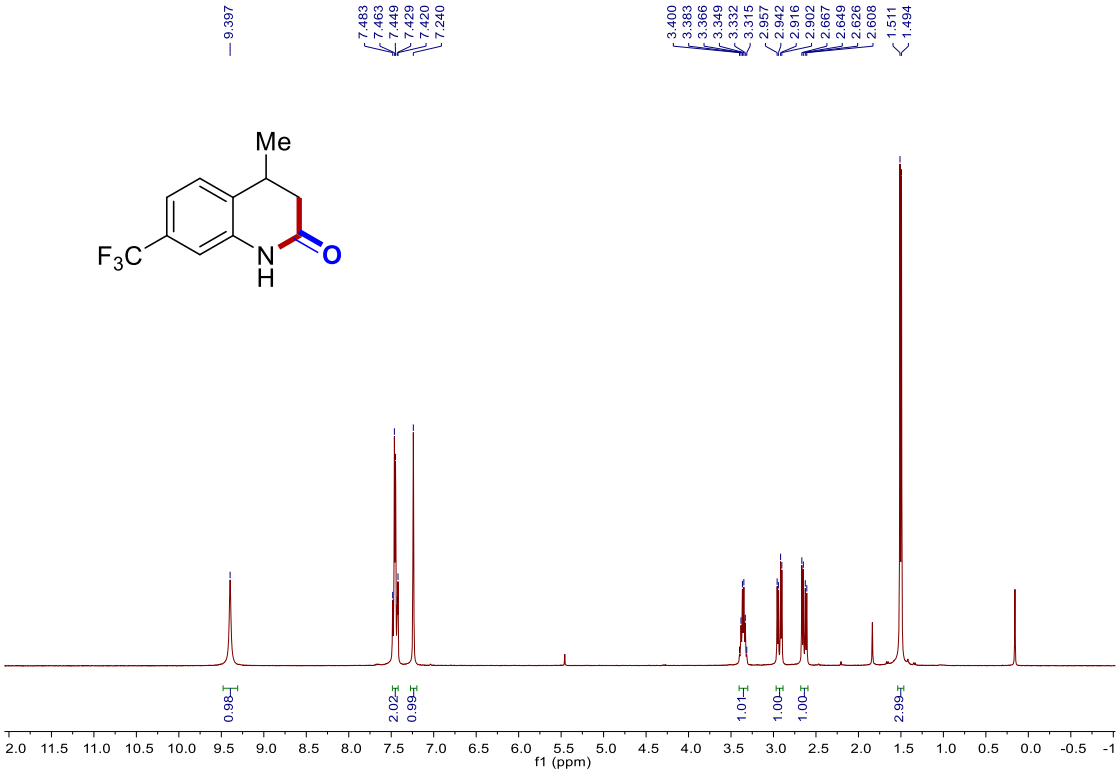
^{1}H NMR of compound 34 (400 MHz, $CDCl_3$):



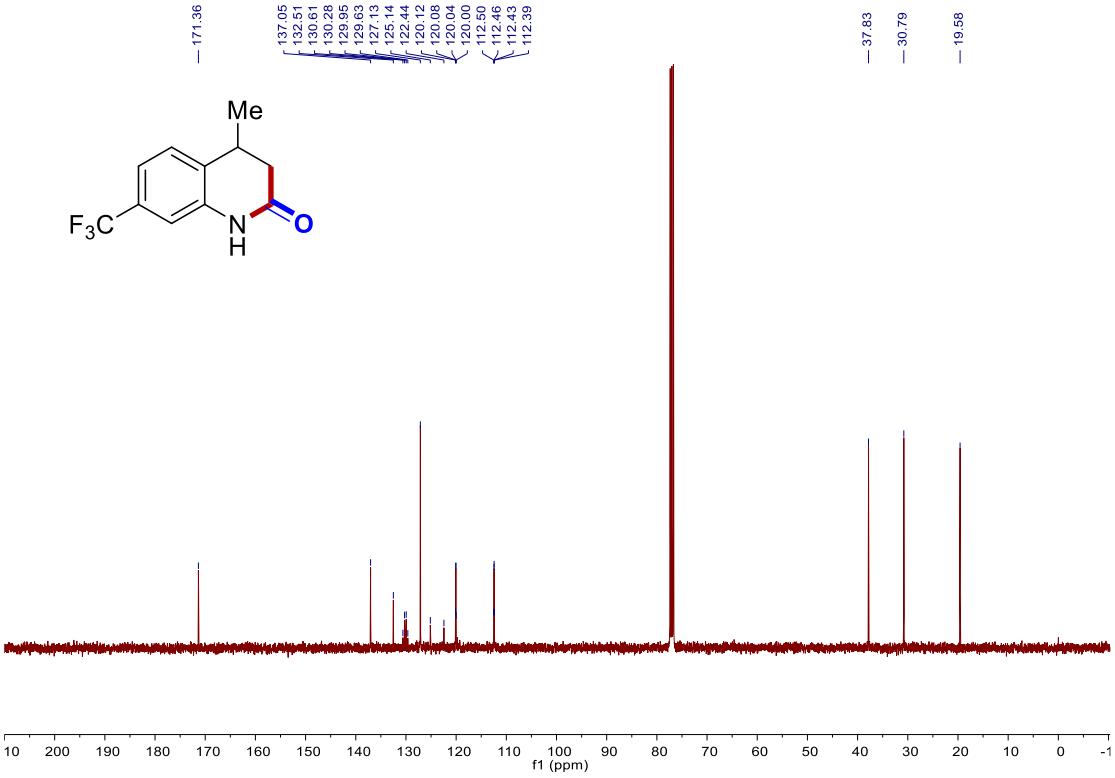
^{13}C NMR of Compound 34 (100 MHz, $CDCl_3$):



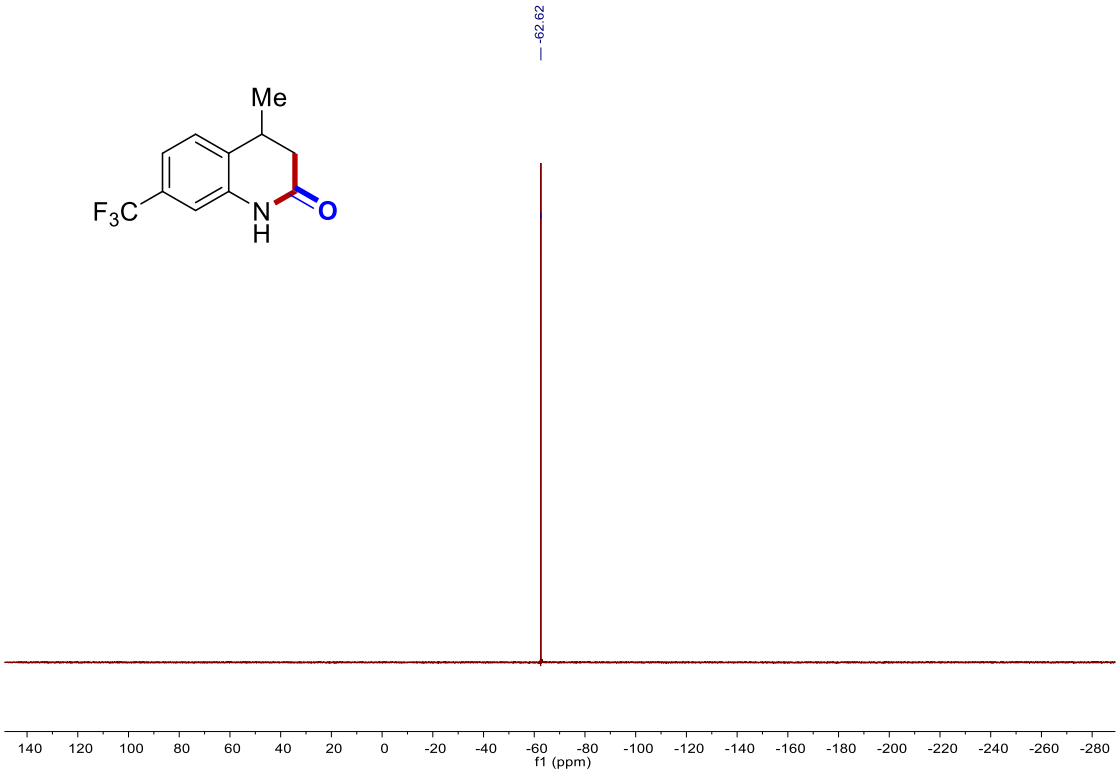
^{1}H NMR of compound 35 (400 MHz, $CDCl_3$):



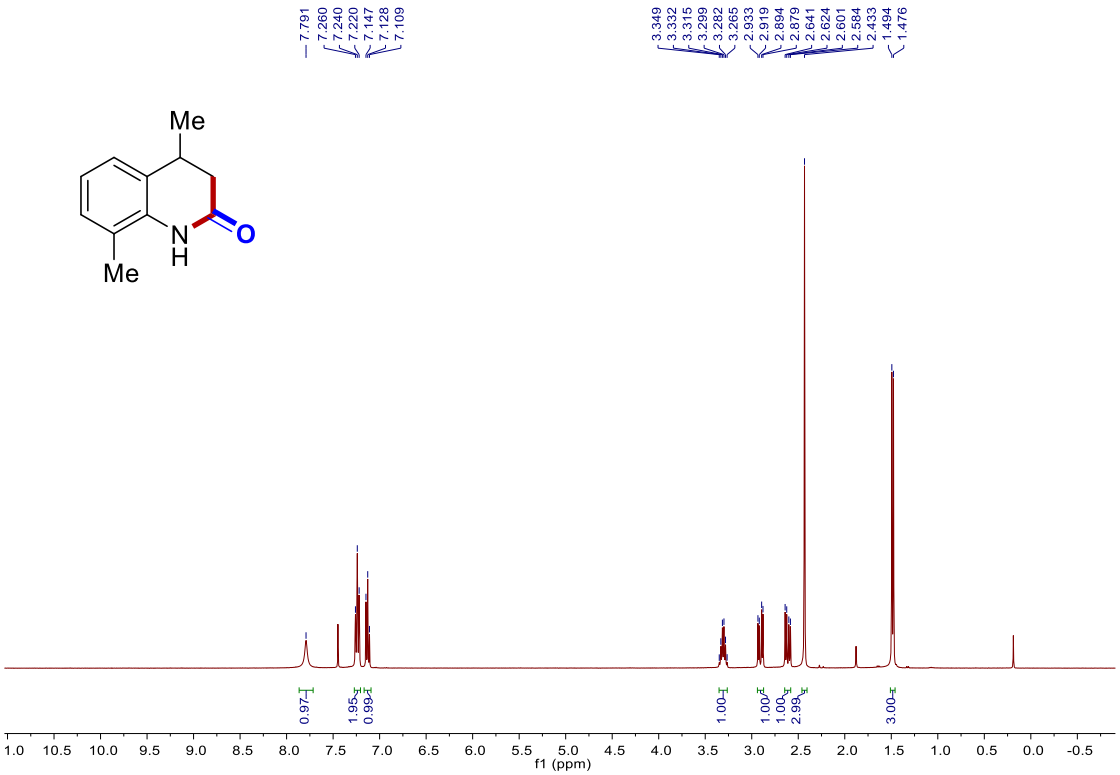
^{13}C NMR of Compound 35 (100 MHz, $CDCl_3$):



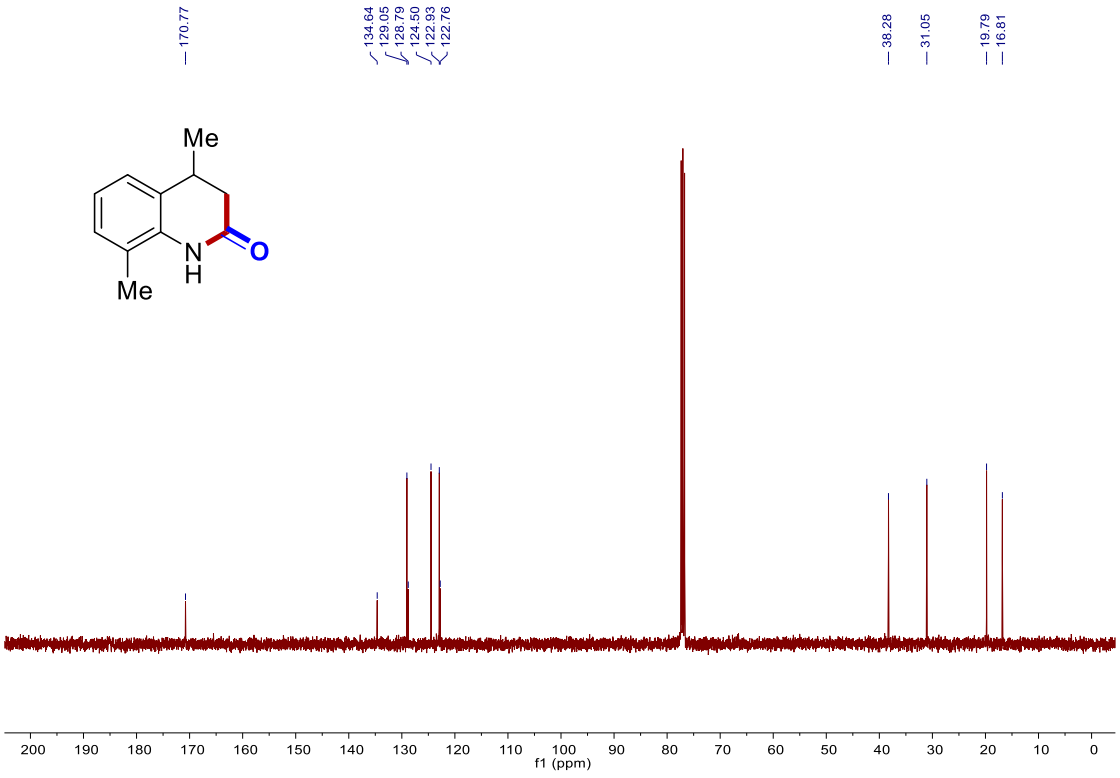
^{19}F NMR of Compound 35 (375 MHz, $CDCl_3$):



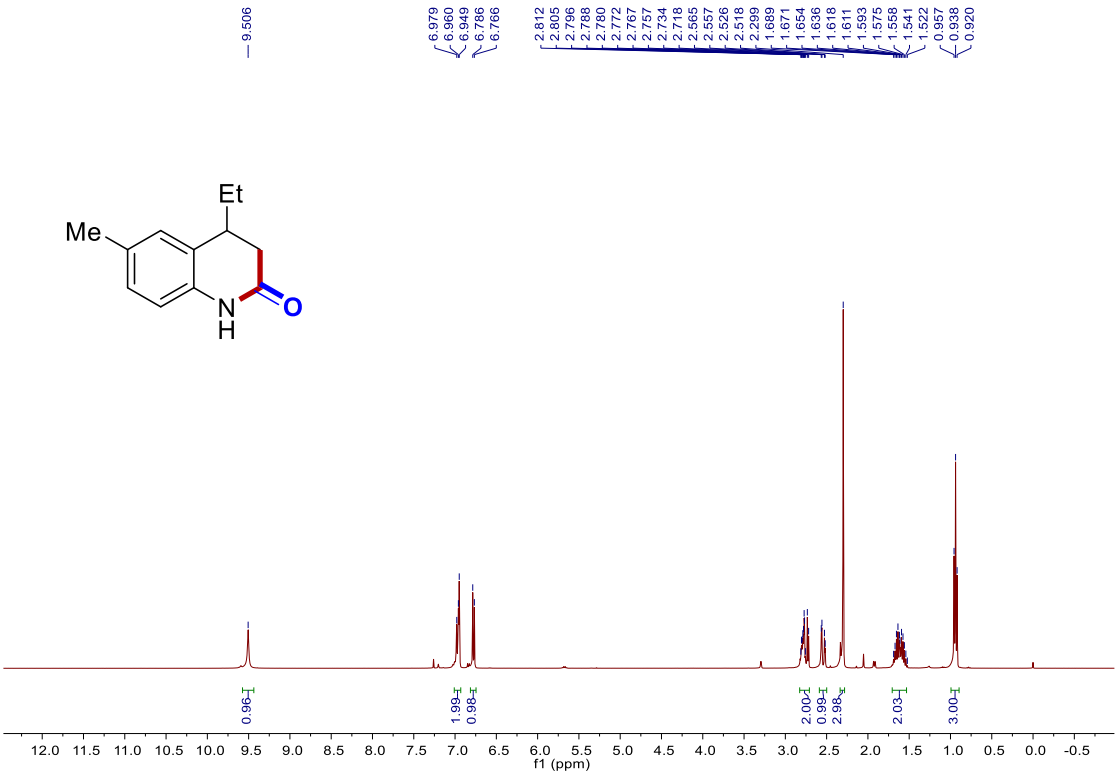
^{1}H NMR of compound 36 (400 MHz, $CDCl_3$):



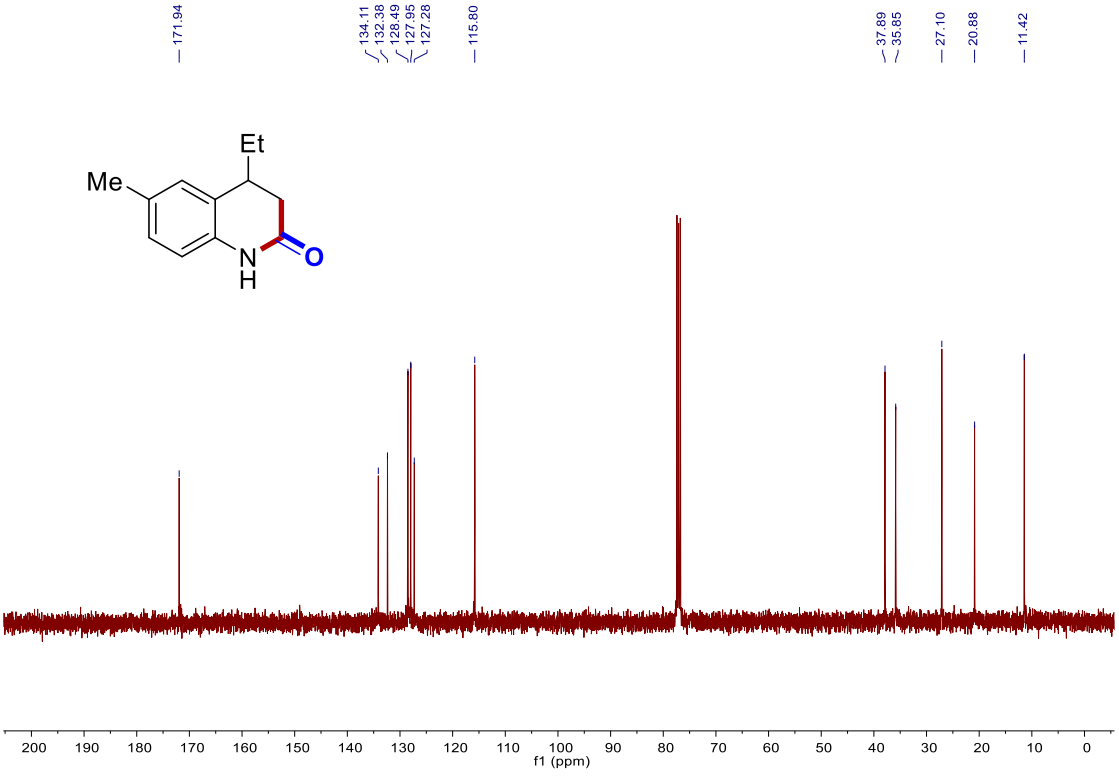
^{13}C NMR of Compound 36 (100 MHz, $CDCl_3$):



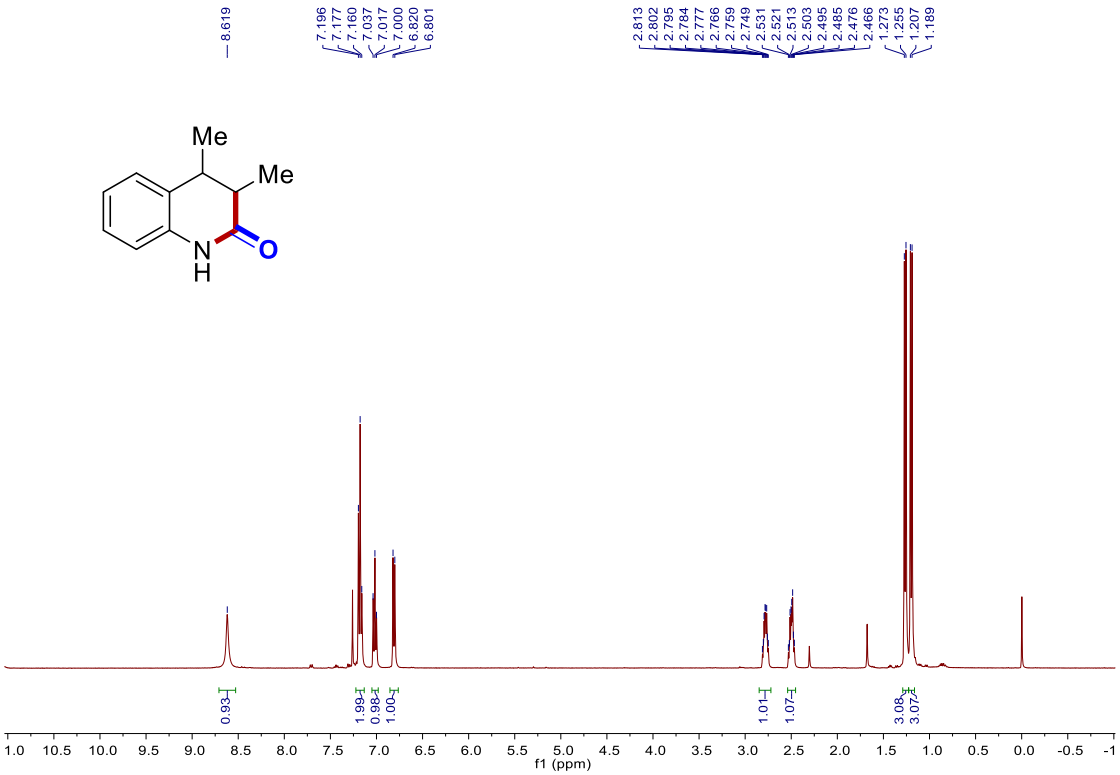
^{1}H NMR of compound 37 (400 MHz, $CDCl_3$):



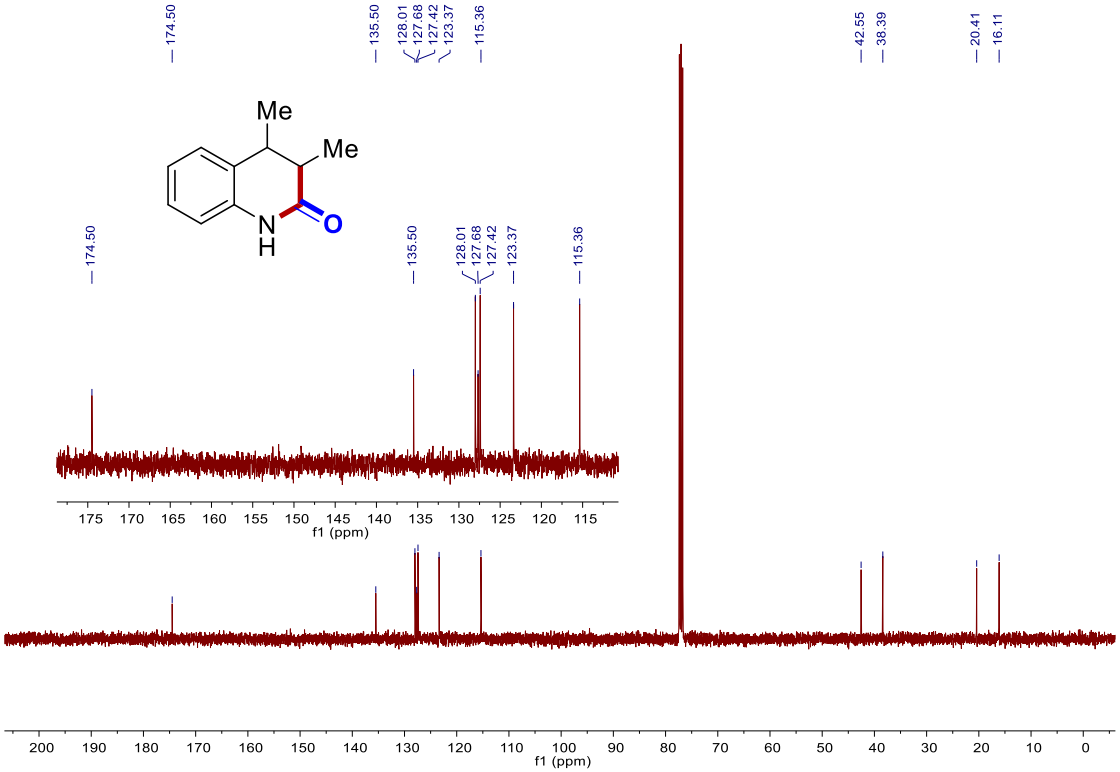
^{13}C NMR of Compound 37 (100 MHz, $CDCl_3$):



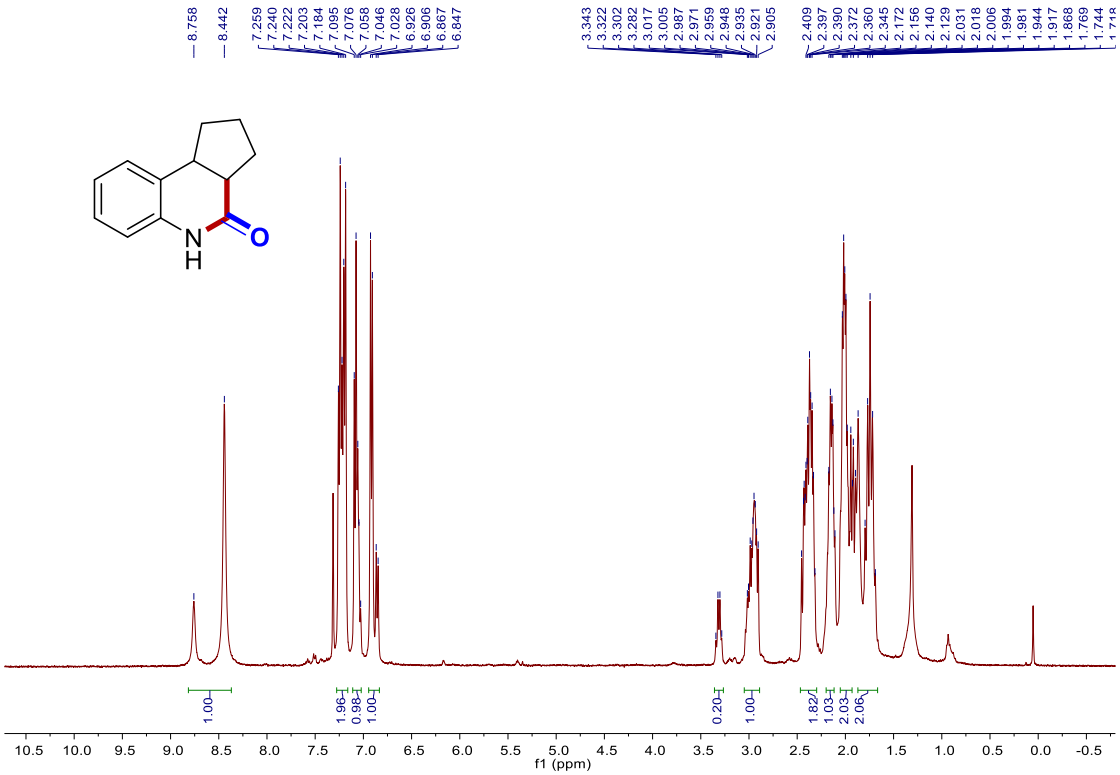
^{1}H NMR of compound 38 (400 MHz, $CDCl_3$):



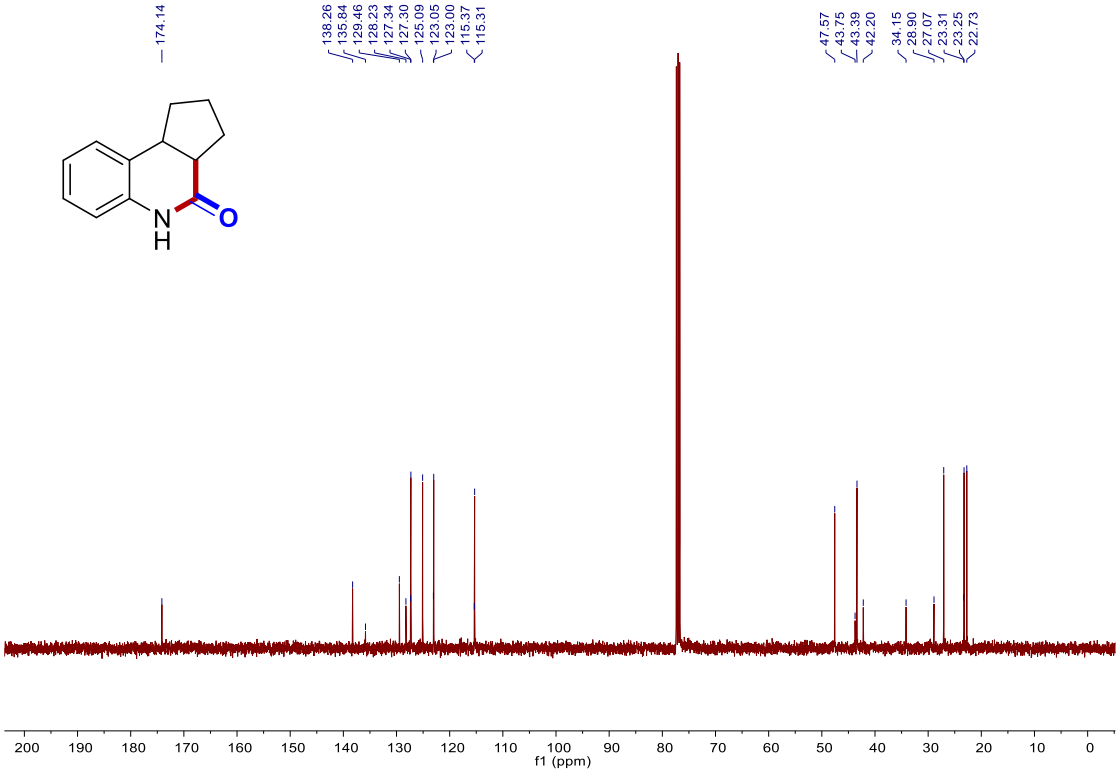
^{13}C NMR of Compound 38 (100 MHz, $CDCl_3$):



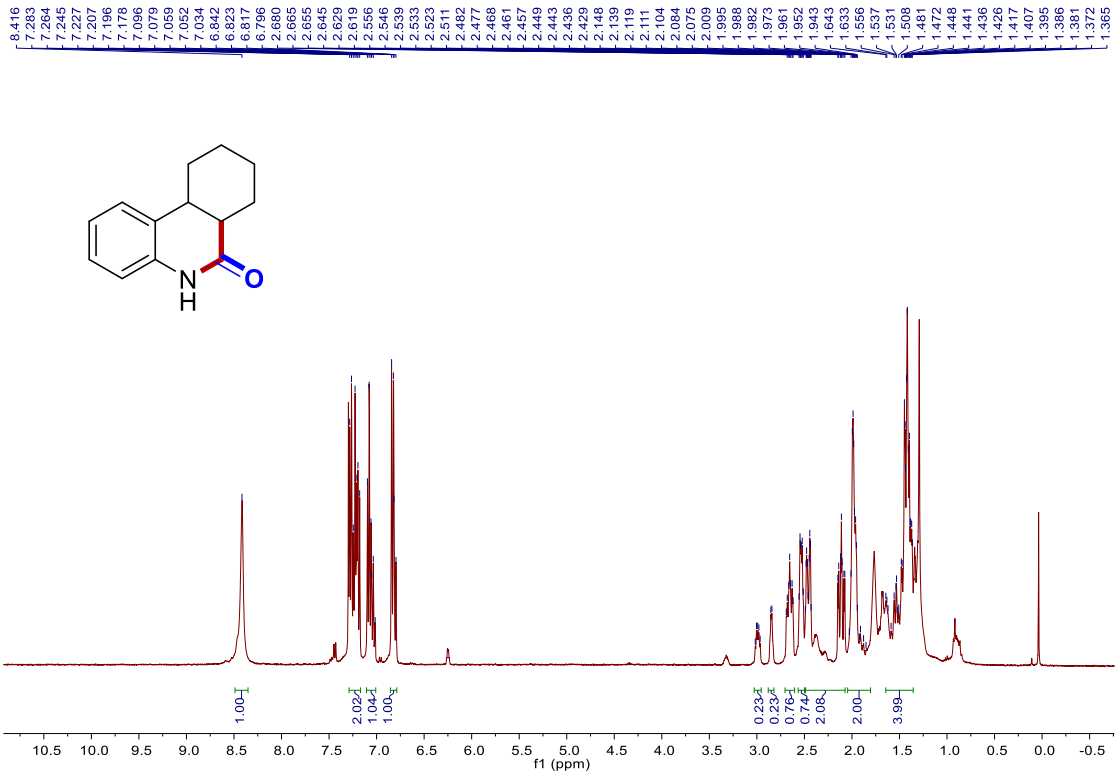
^{1}H NMR of compound 39 (400 MHz, $CDCl_3$):



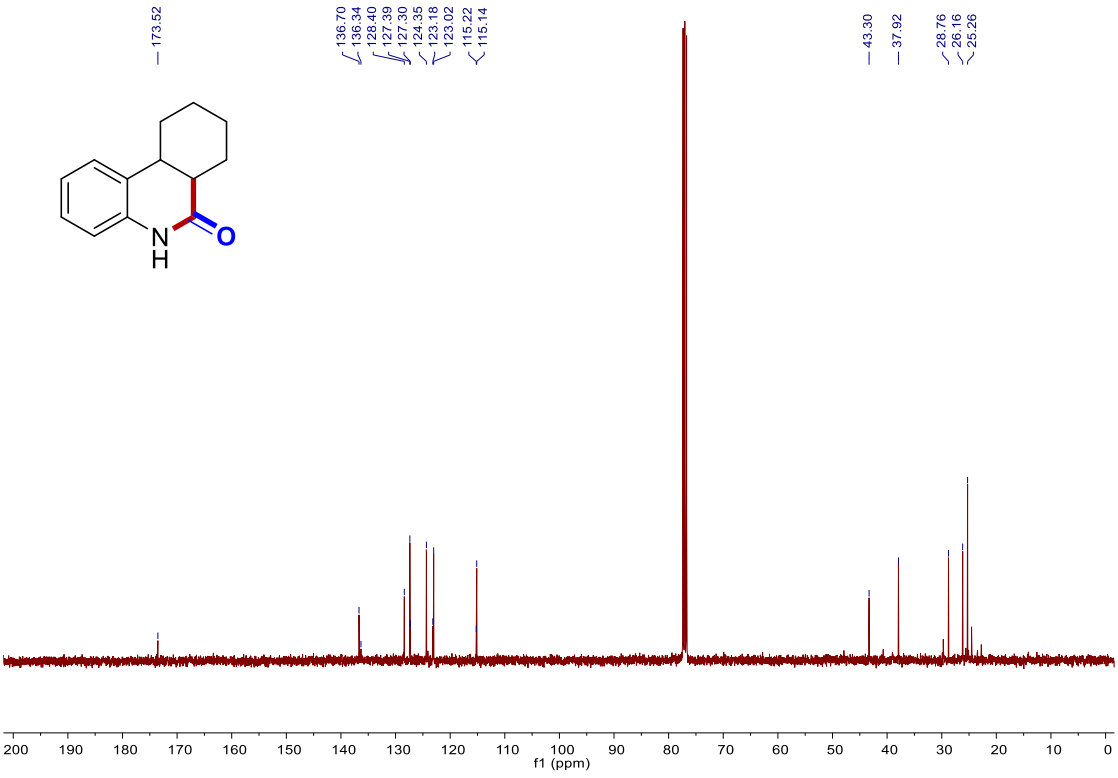
^{13}C NMR of Compound 39 (100 MHz, $CDCl_3$):



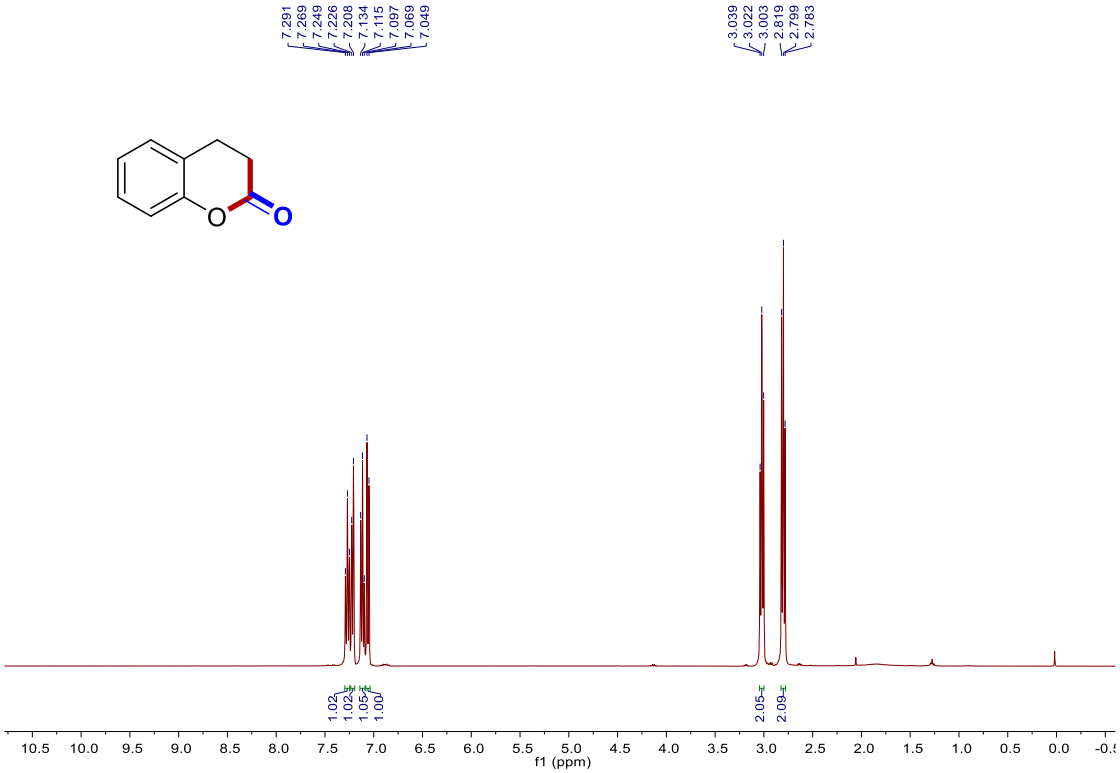
^{1}H NMR of compound 40 (400 MHz, $CDCl_3$):



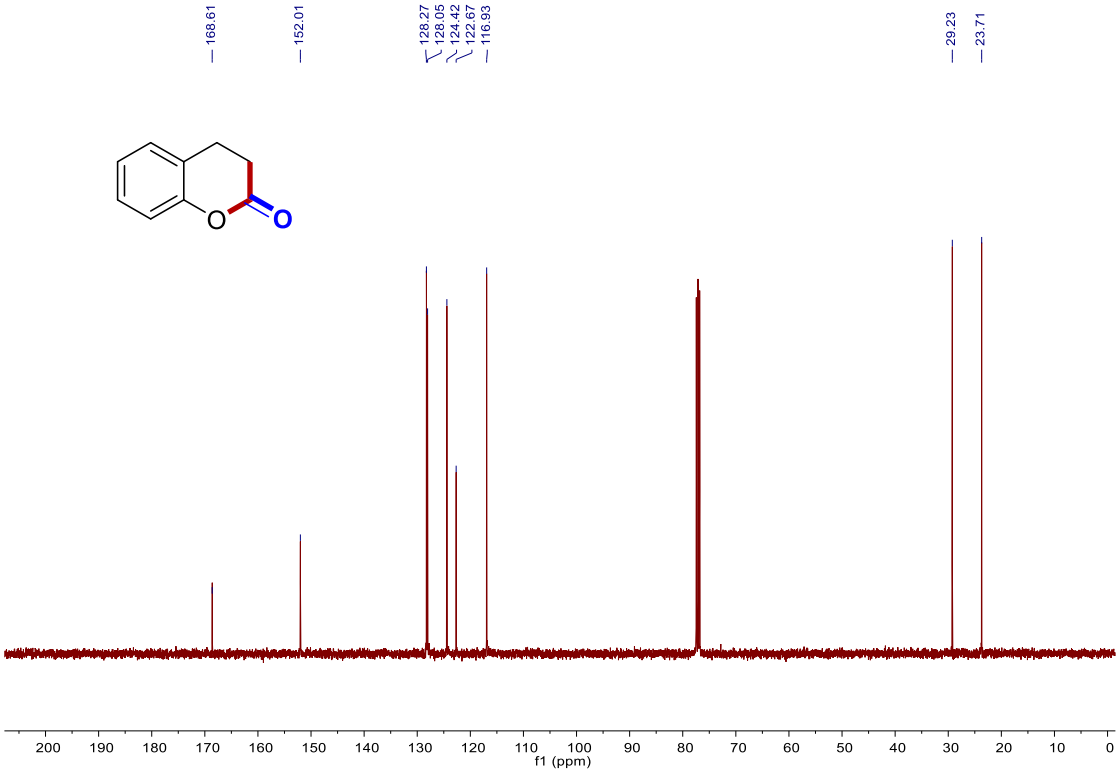
^{13}C NMR of Compound 40 (100 MHz, $CDCl_3$):



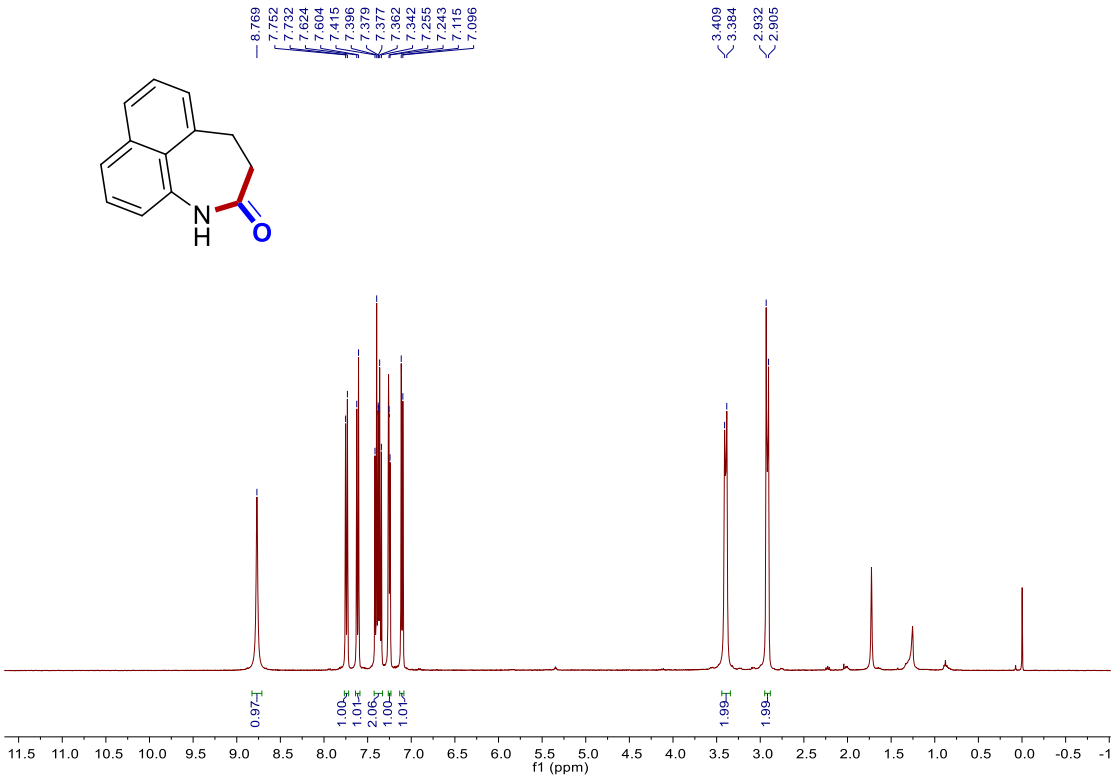
^{1}H NMR of compound 41 (400 MHz, $CDCl_3$):



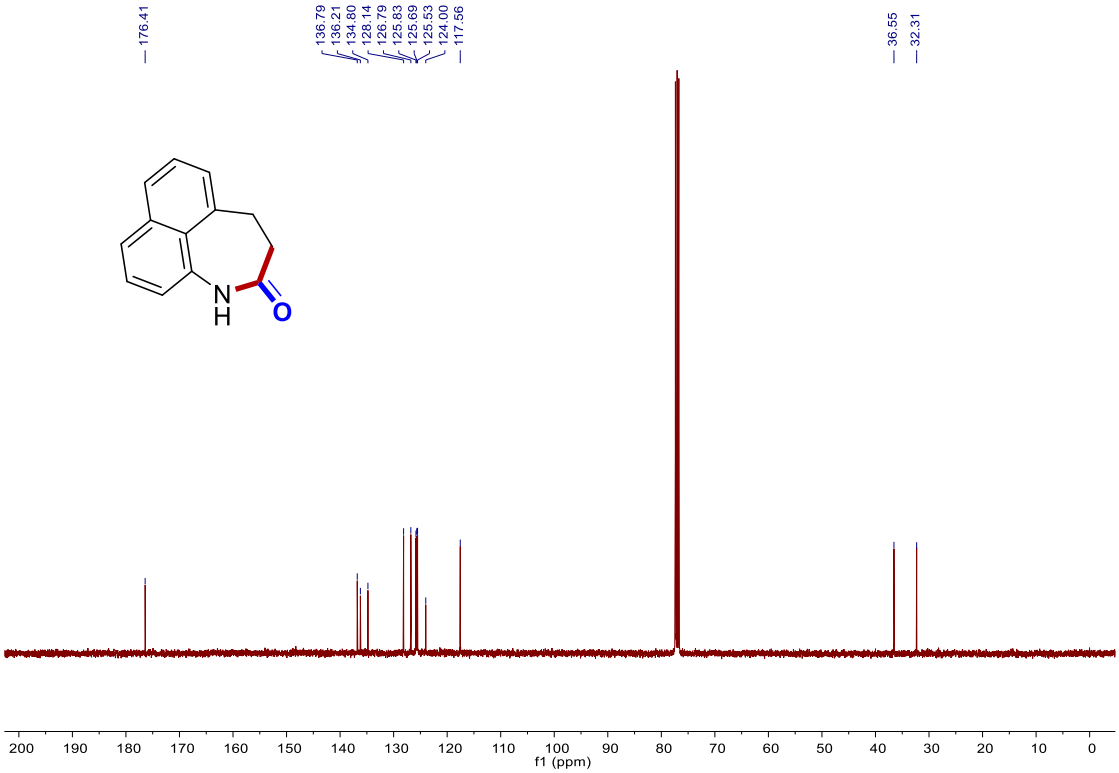
^{13}C NMR of Compound 41 (100 MHz, $CDCl_3$):



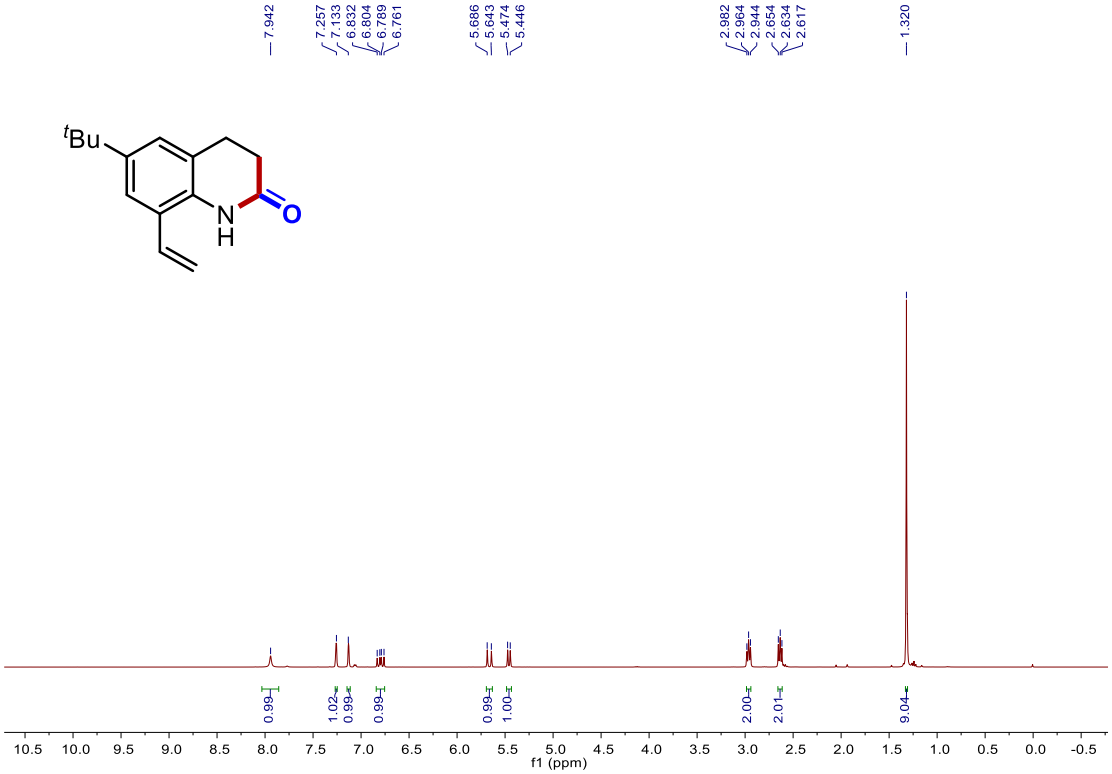
^{1}H NMR of compound 42 (400 MHz, $CDCl_3$):



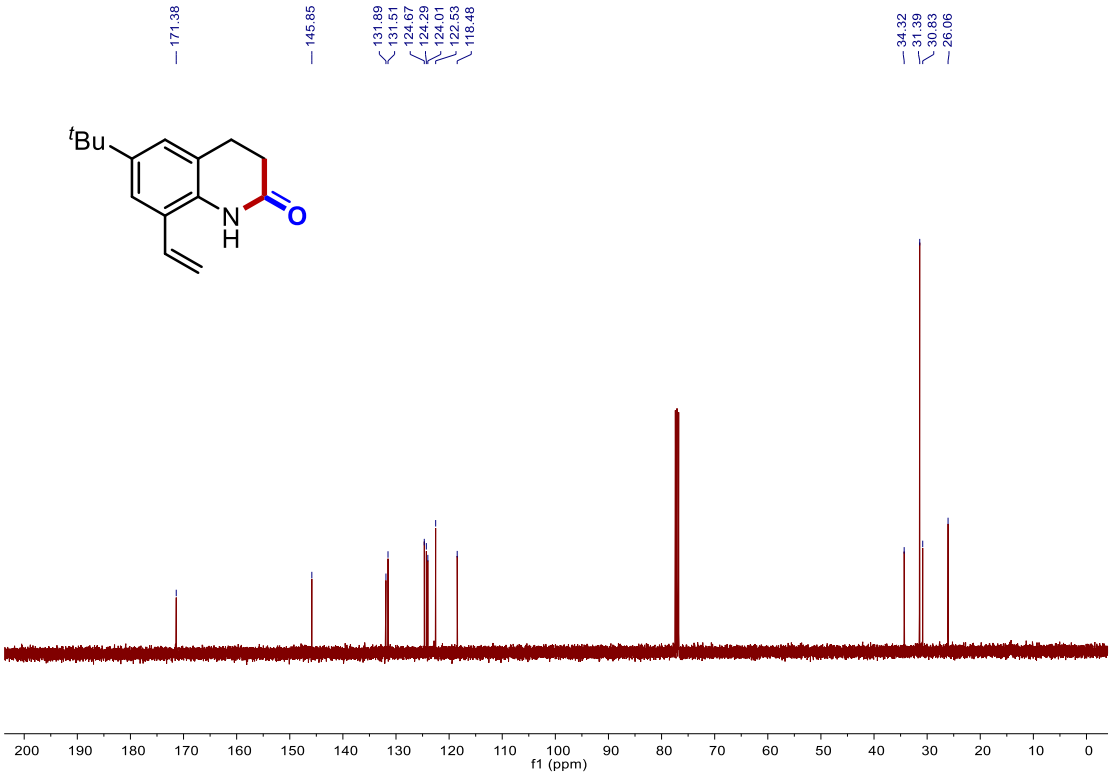
^{13}C NMR of Compound 42 (100 MHz, $CDCl_3$):



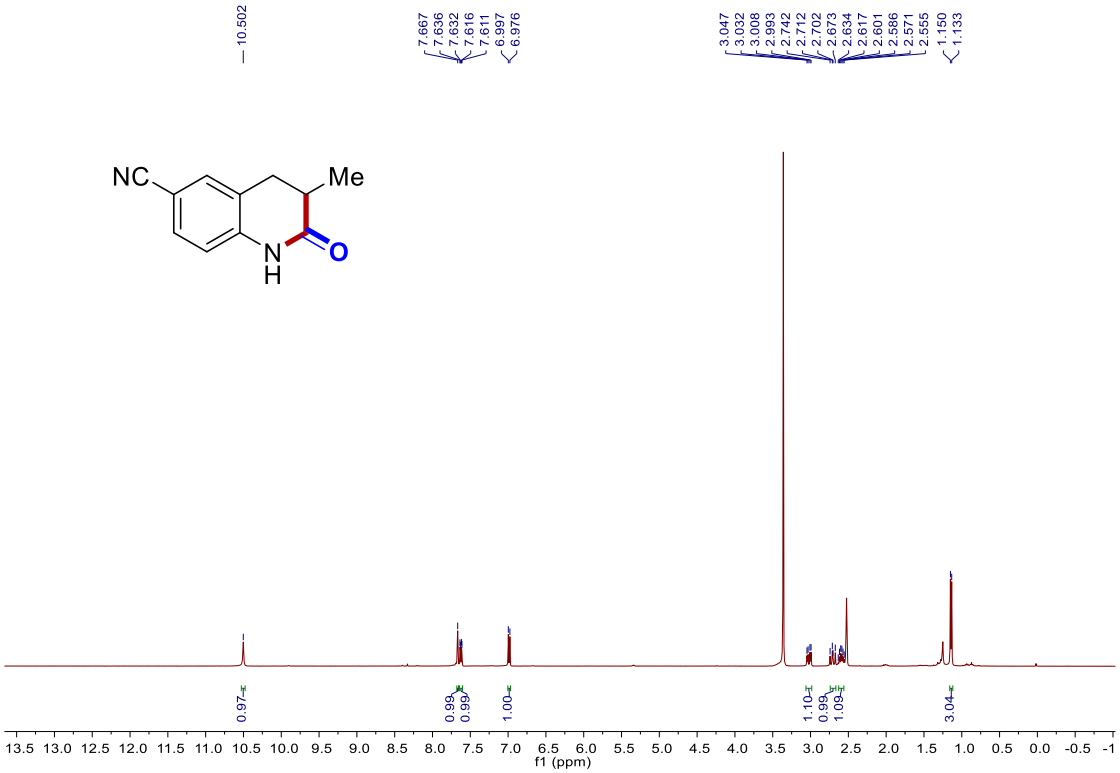
^{1}H NMR of compound 43 (400 MHz, $CDCl_3$):



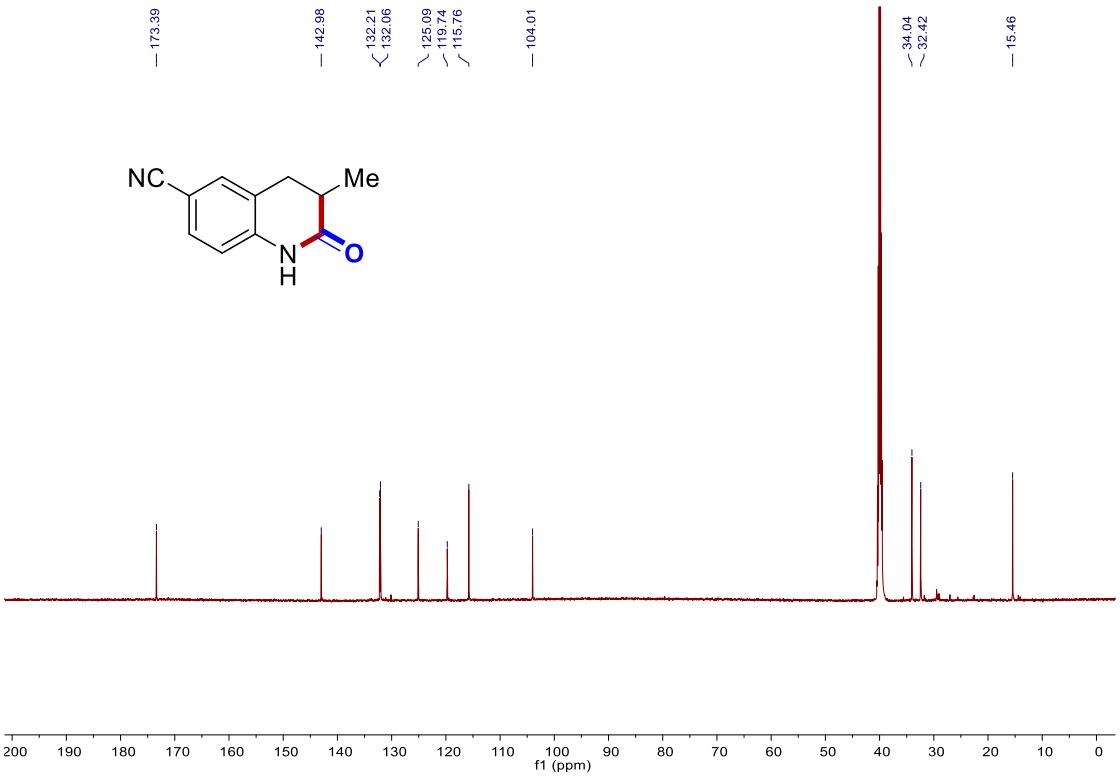
^{13}C NMR of Compound 43 (100 MHz, $CDCl_3$):



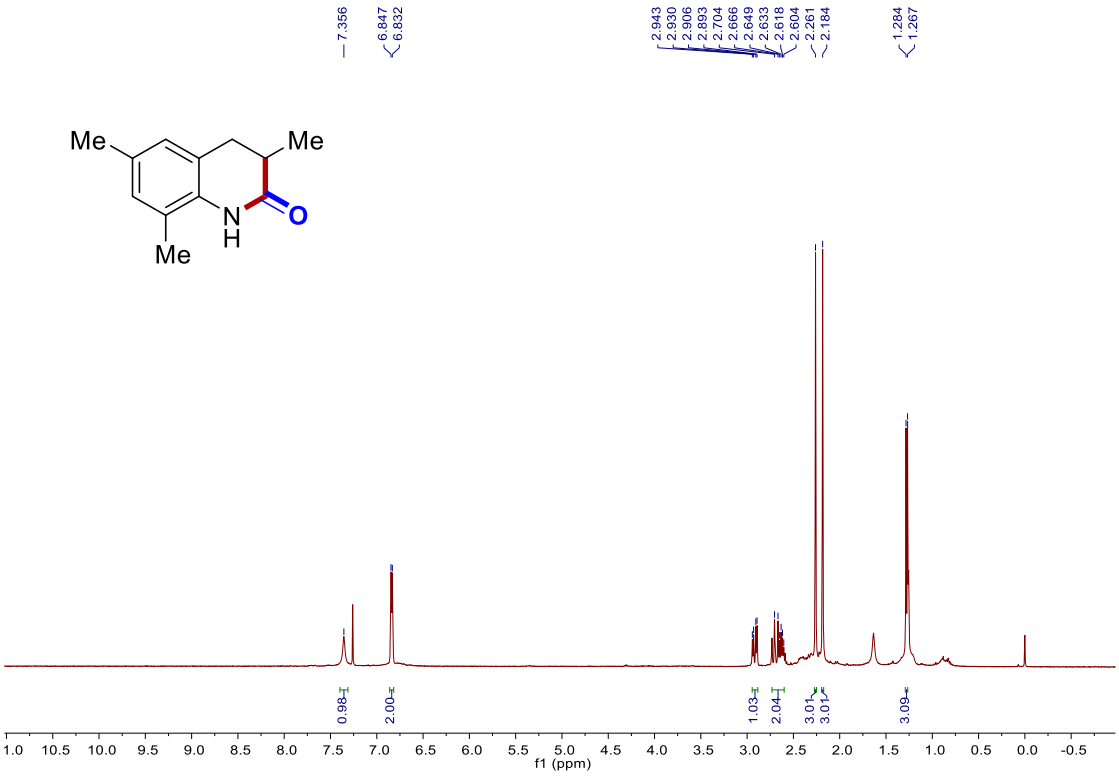
^{1}H NMR of compound 44 (400 MHz, DMSO-d_6):



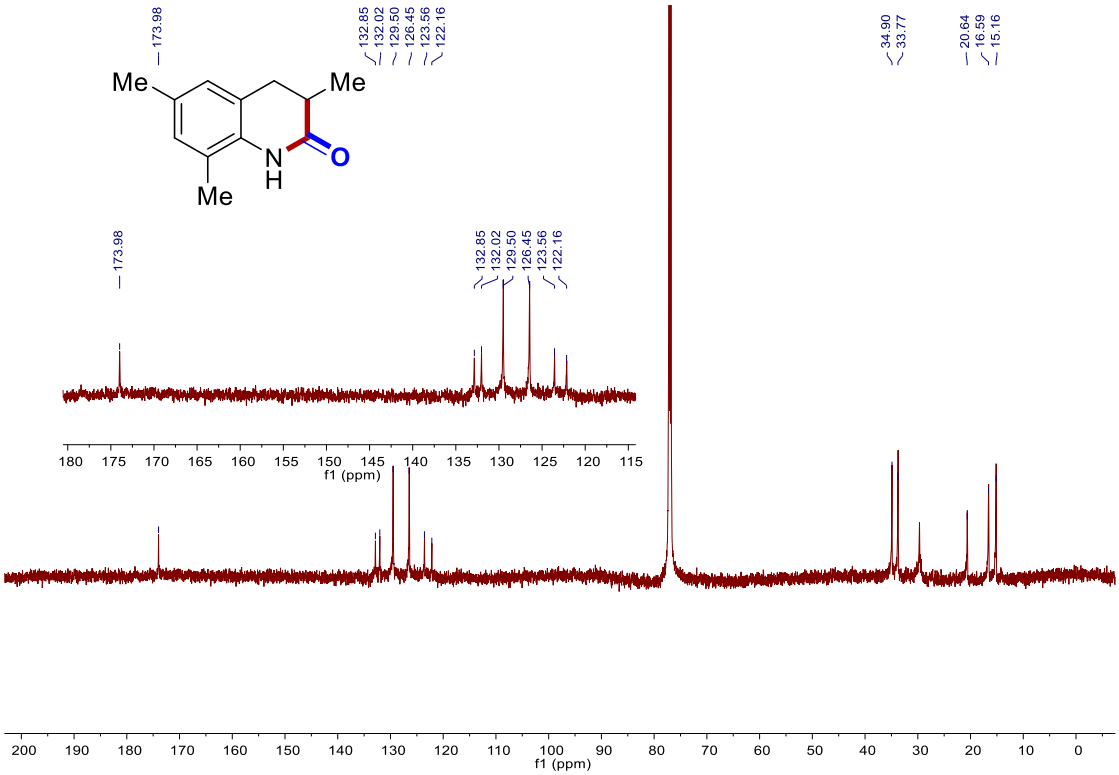
^{13}C NMR of Compound 44 (100 MHz, DMSO-d_6):



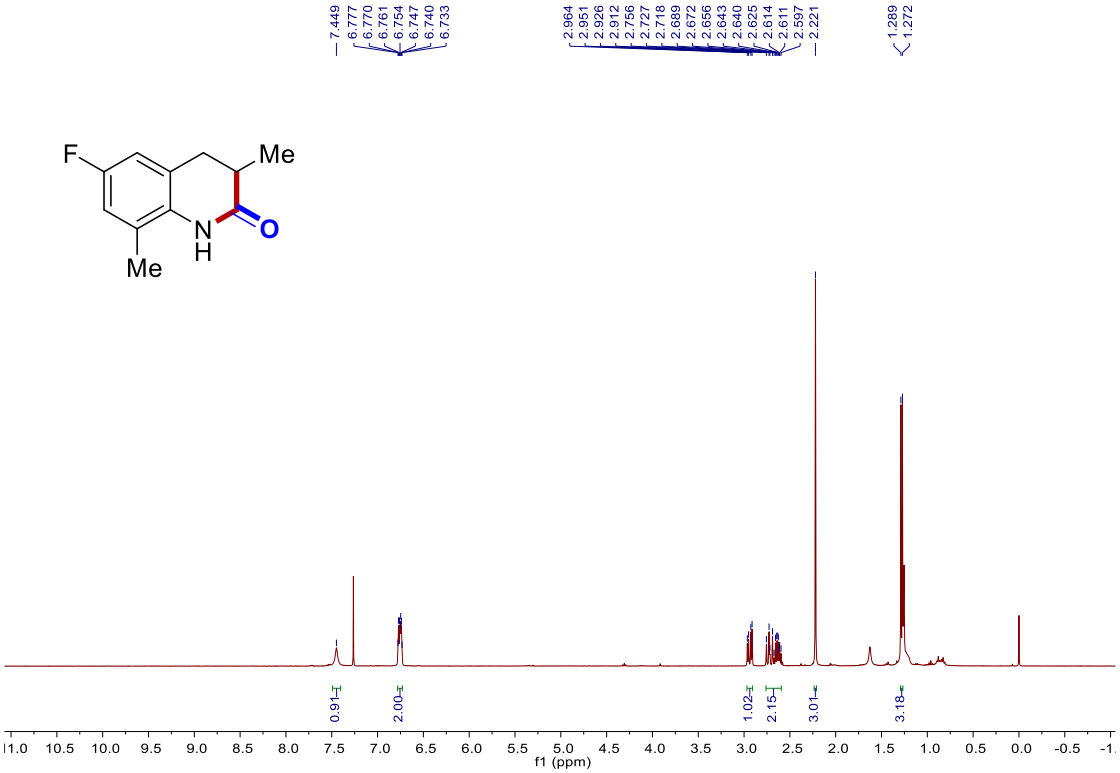
^{1}H NMR of compound 45 (400 MHz, $CDCl_3$):



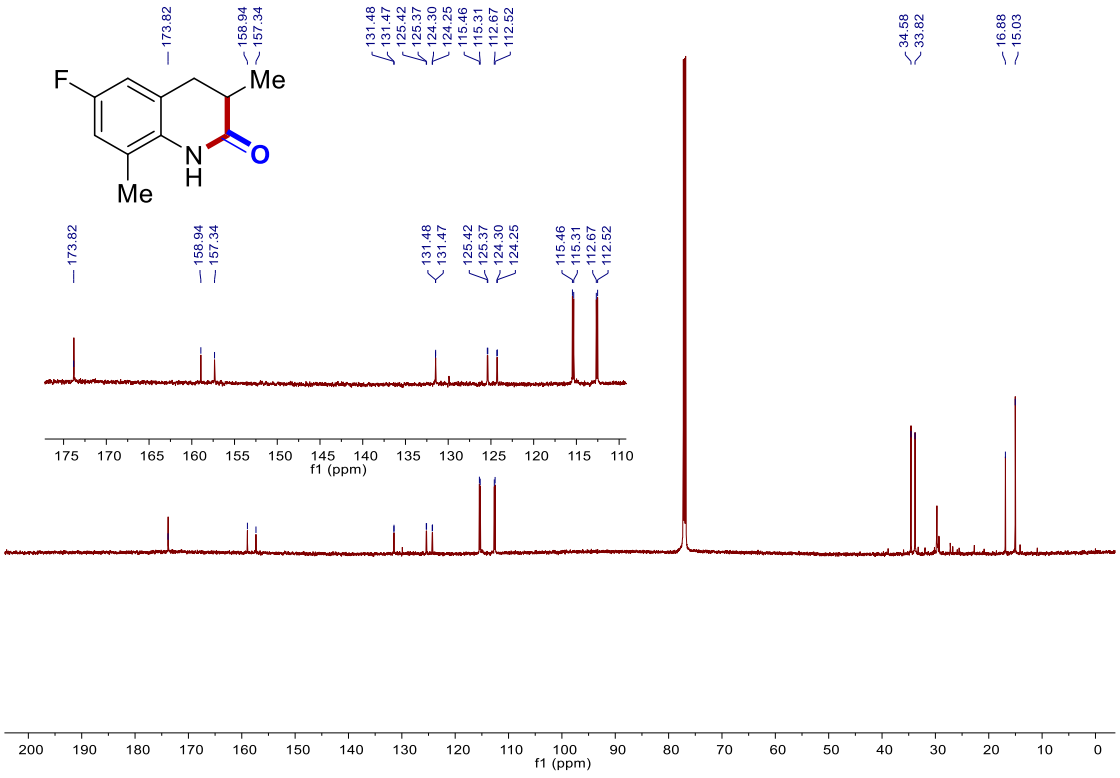
^{13}C NMR of Compound 45 (100 MHz, $CDCl_3$):



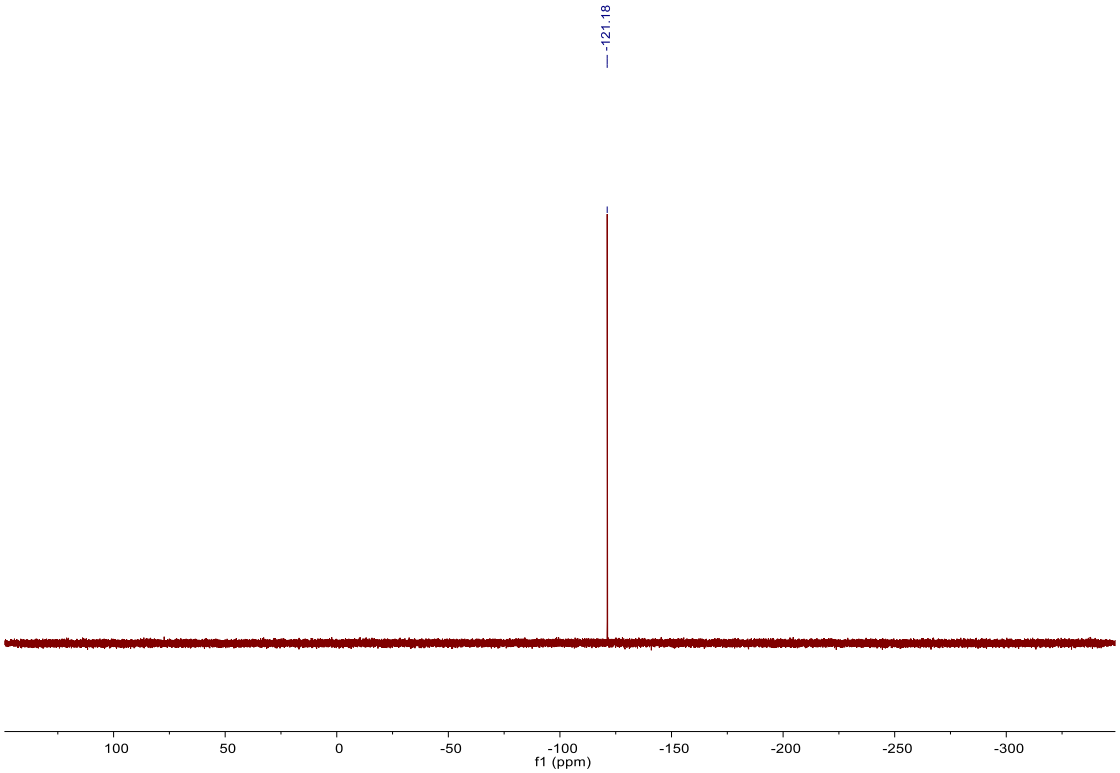
^{1}H NMR of compound 46 (400 MHz, $CDCl_3$):



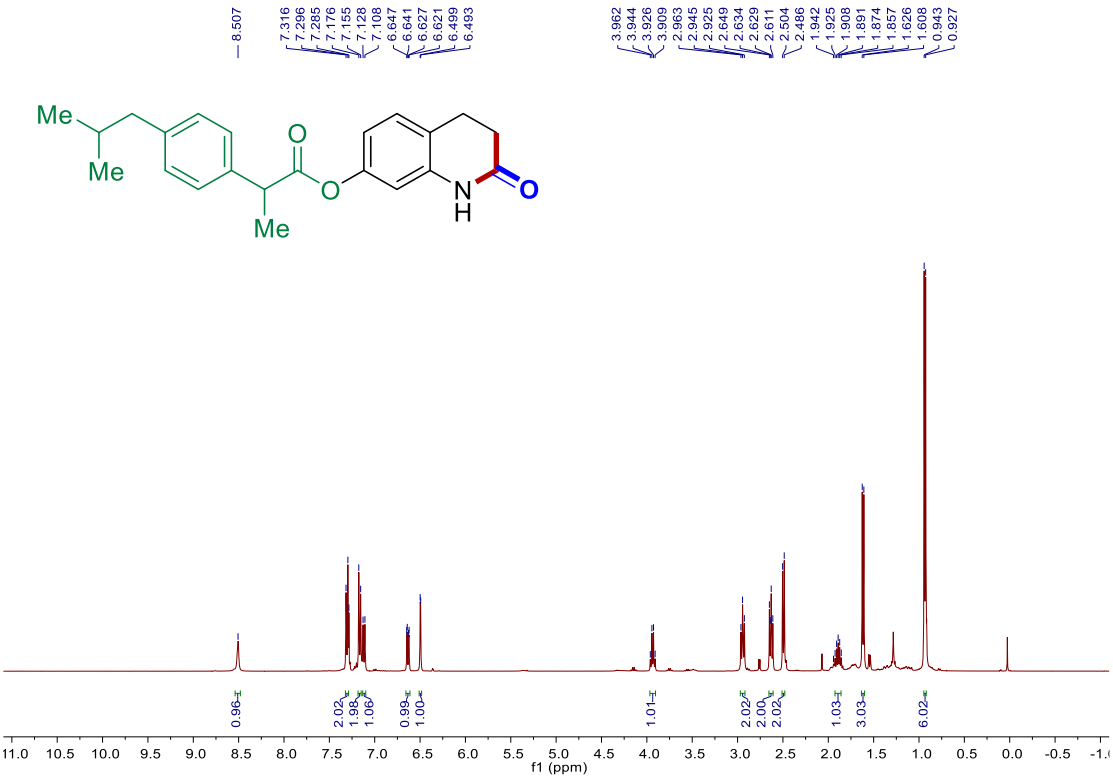
^{13}C NMR of Compound 46 (100 MHz, $CDCl_3$):



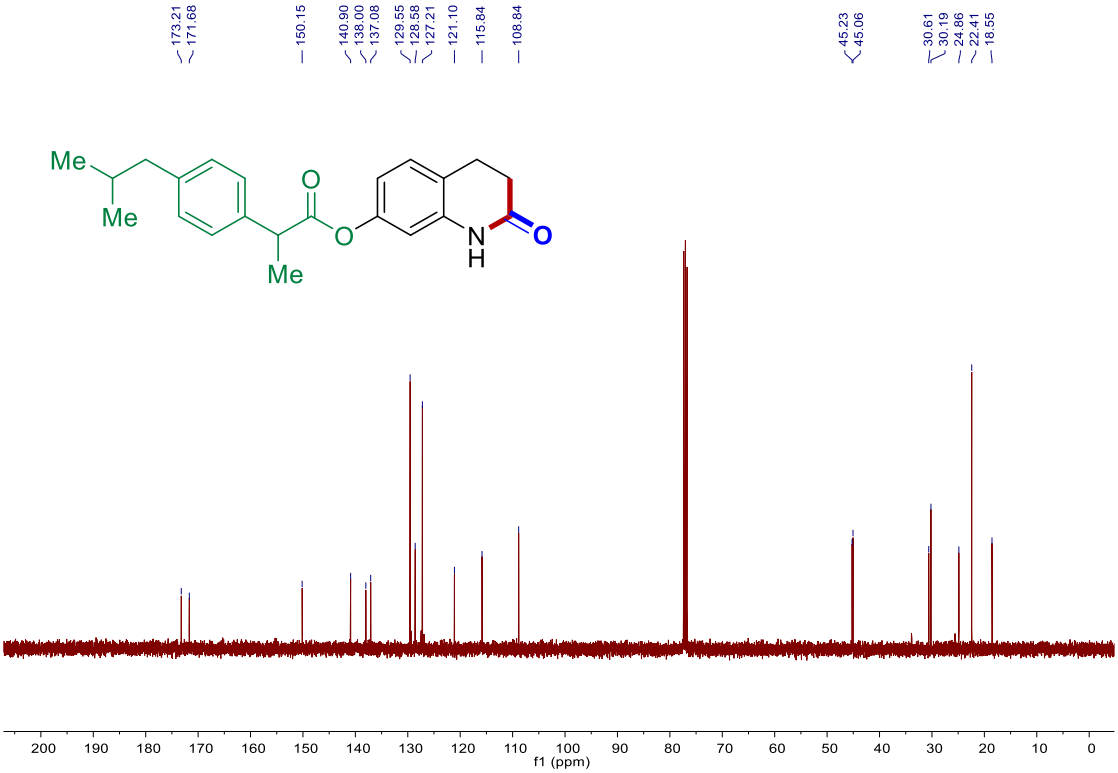
^{19}F NMR of Compound 46 (375 MHz, $CDCl_3$):



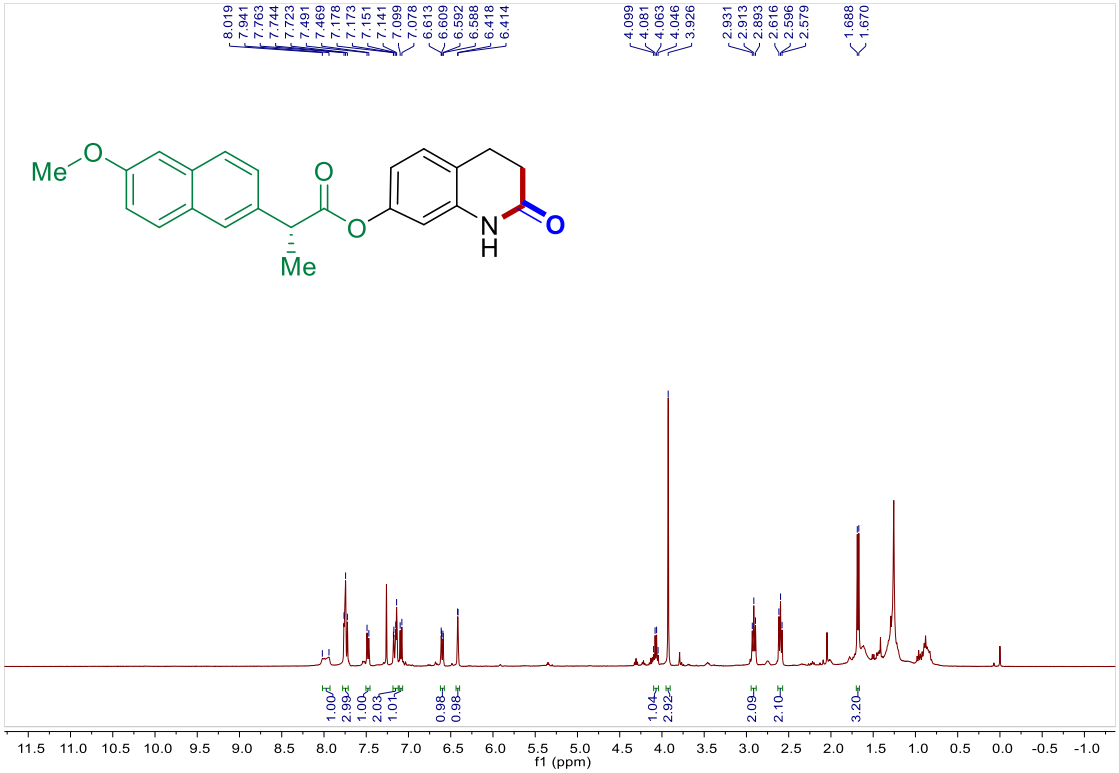
^{1}H NMR of compound 47 (400 MHz, $CDCl_3$):



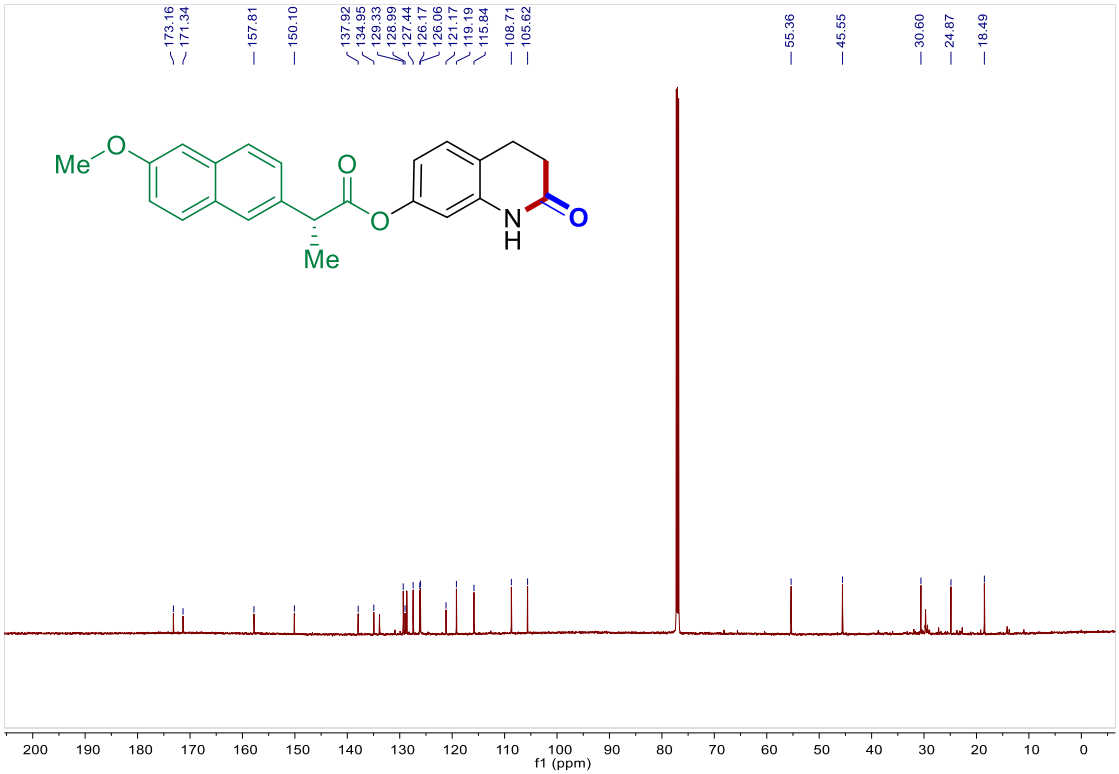
^{13}C NMR of Compound 47 (100 MHz, $CDCl_3$):



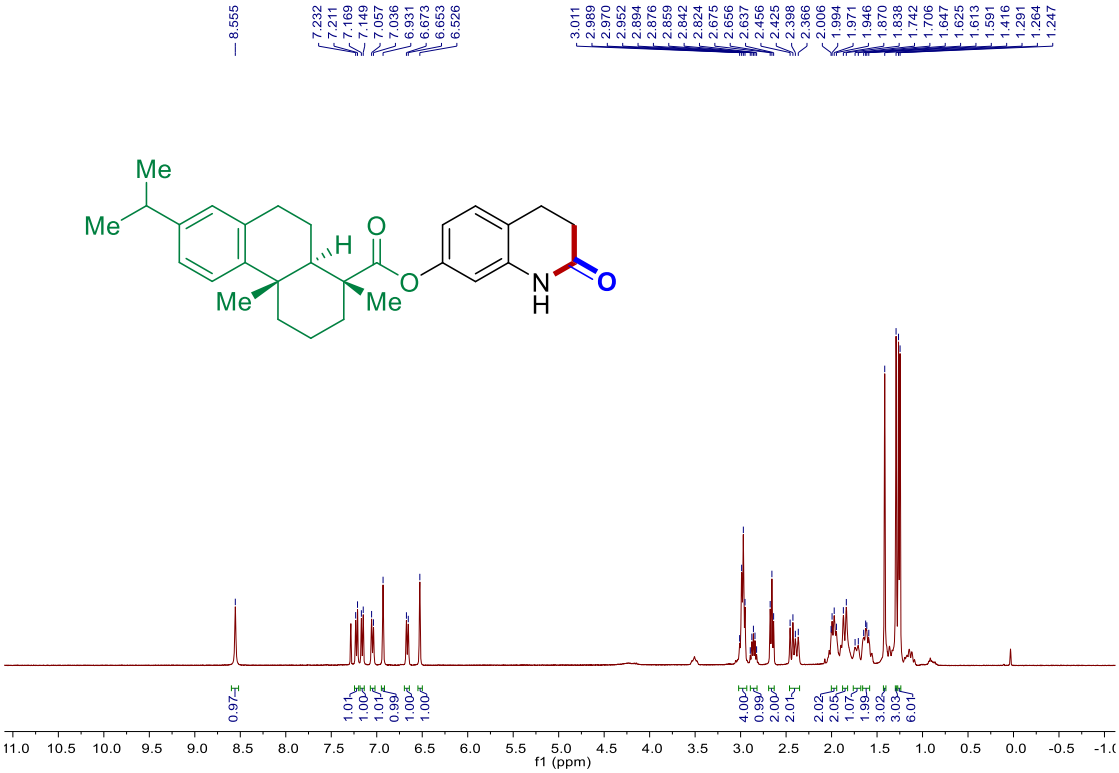
^{1}H NMR of compound 48 (400 MHz, $CDCl_3$):



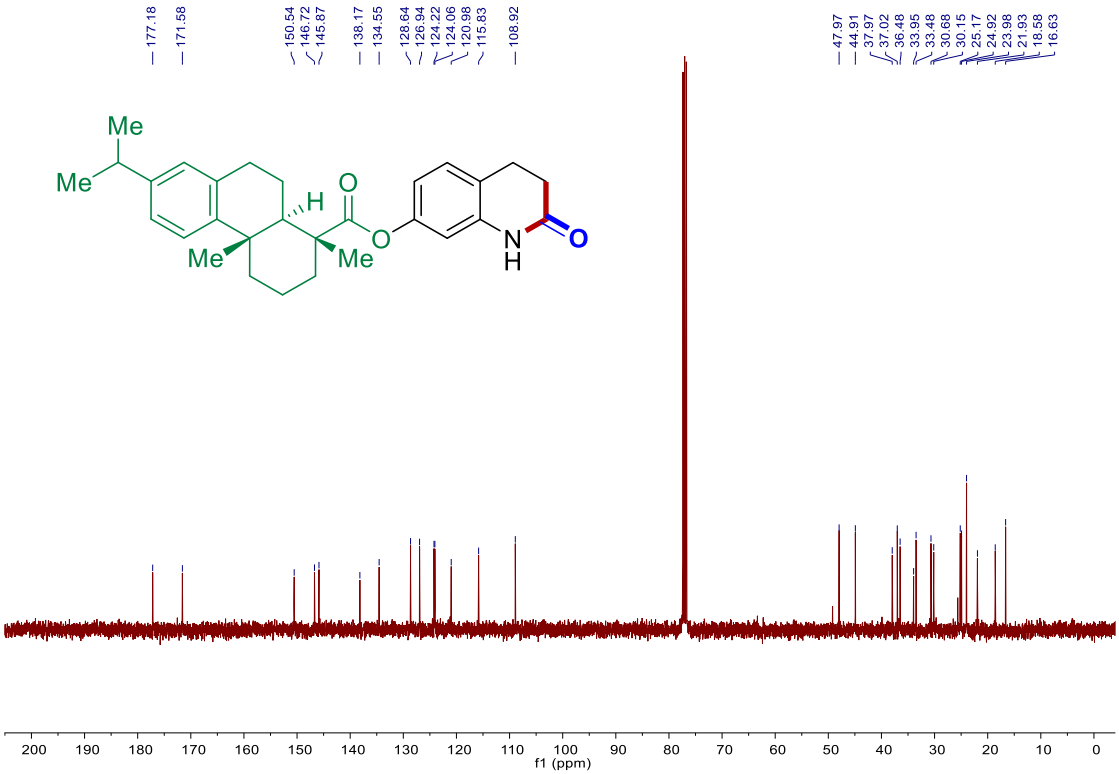
^{13}C NMR of Compound 48 (100 MHz, $CDCl_3$):



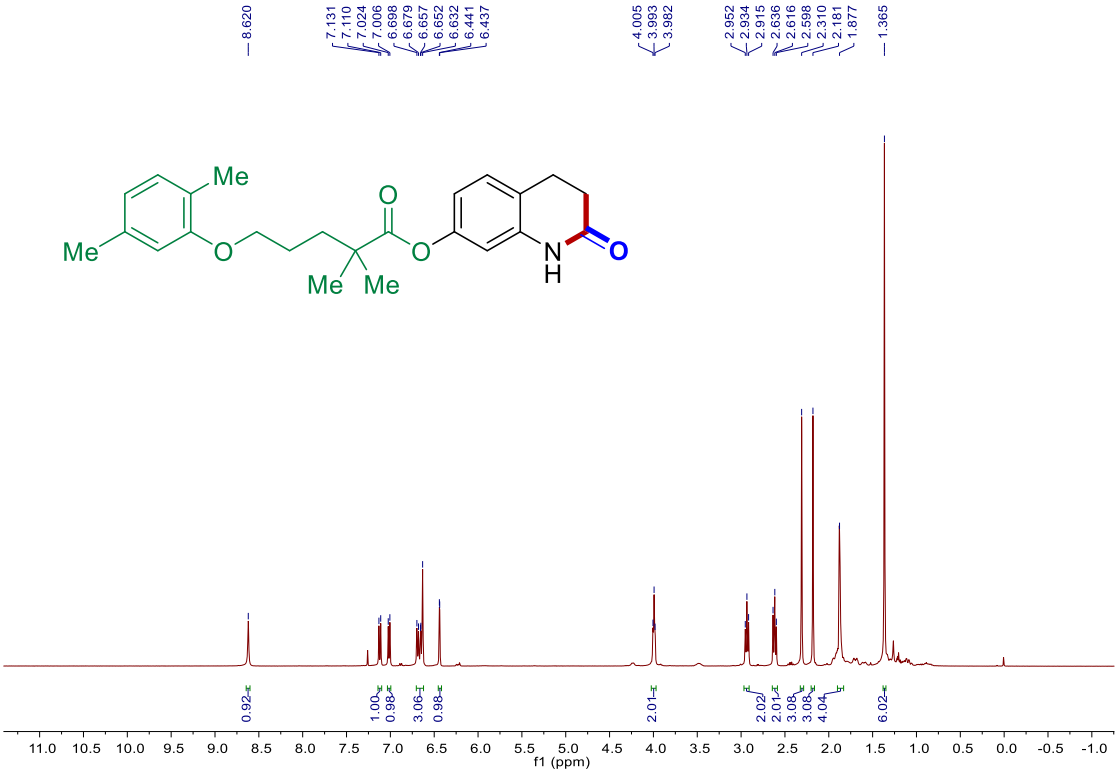
^{1}H NMR of compound 49 (400 MHz, $CDCl_3$):



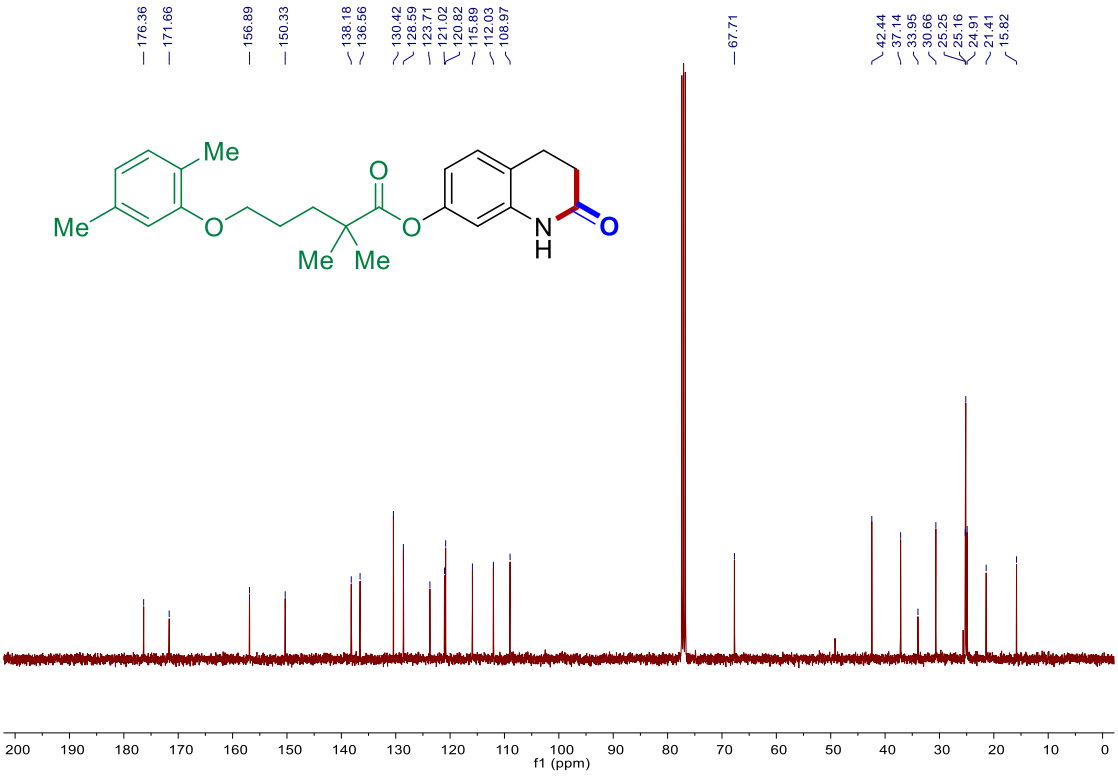
^{13}C NMR of Compound 49 (100 MHz, $CDCl_3$):



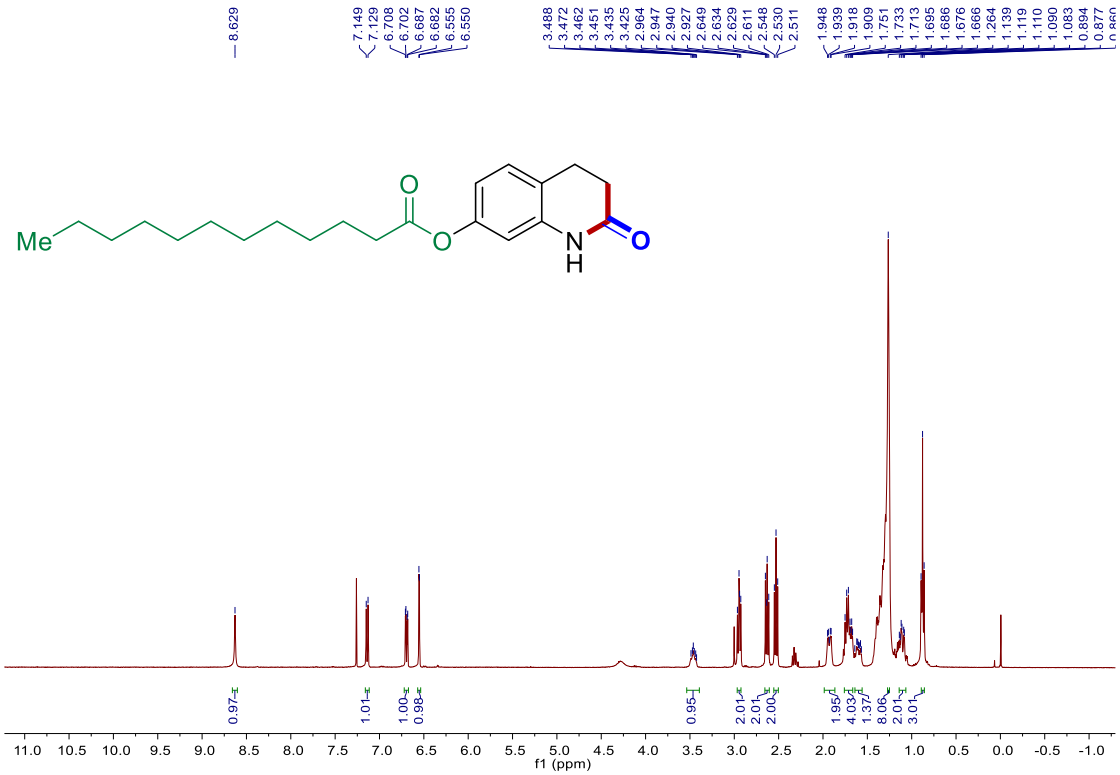
^{1}H NMR of compound 50 (400 MHz, $CDCl_3$):



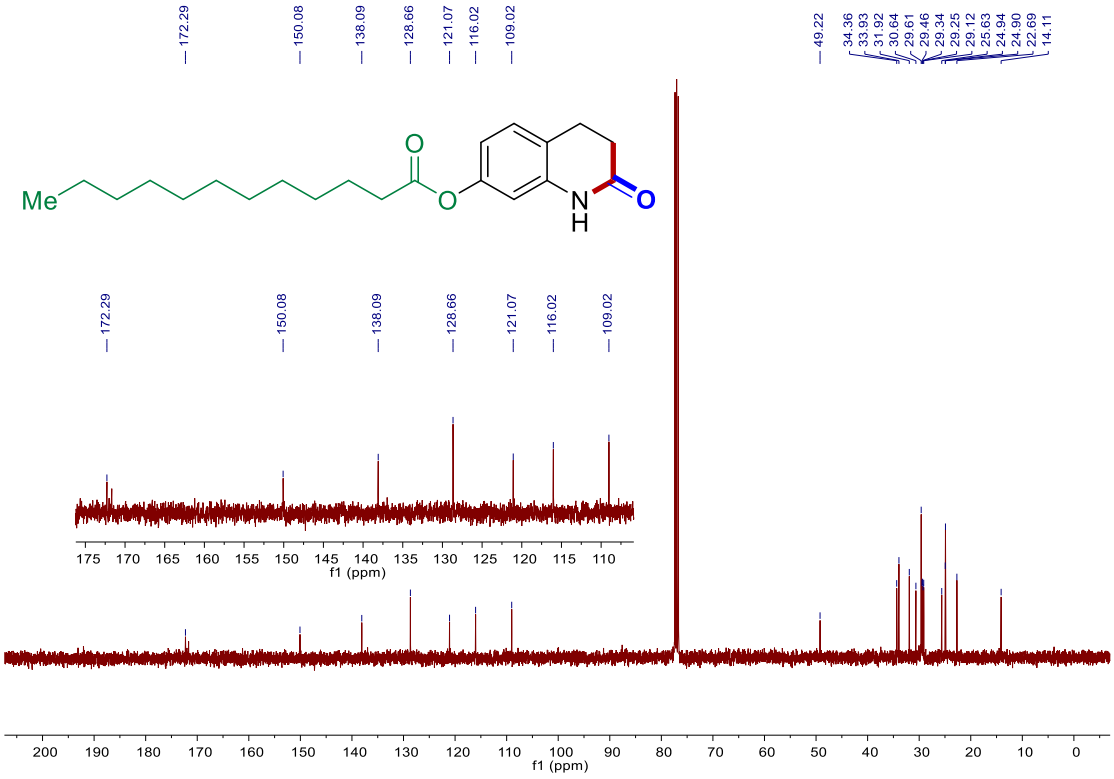
^{13}C NMR of Compound 50 (100 MHz, $CDCl_3$):



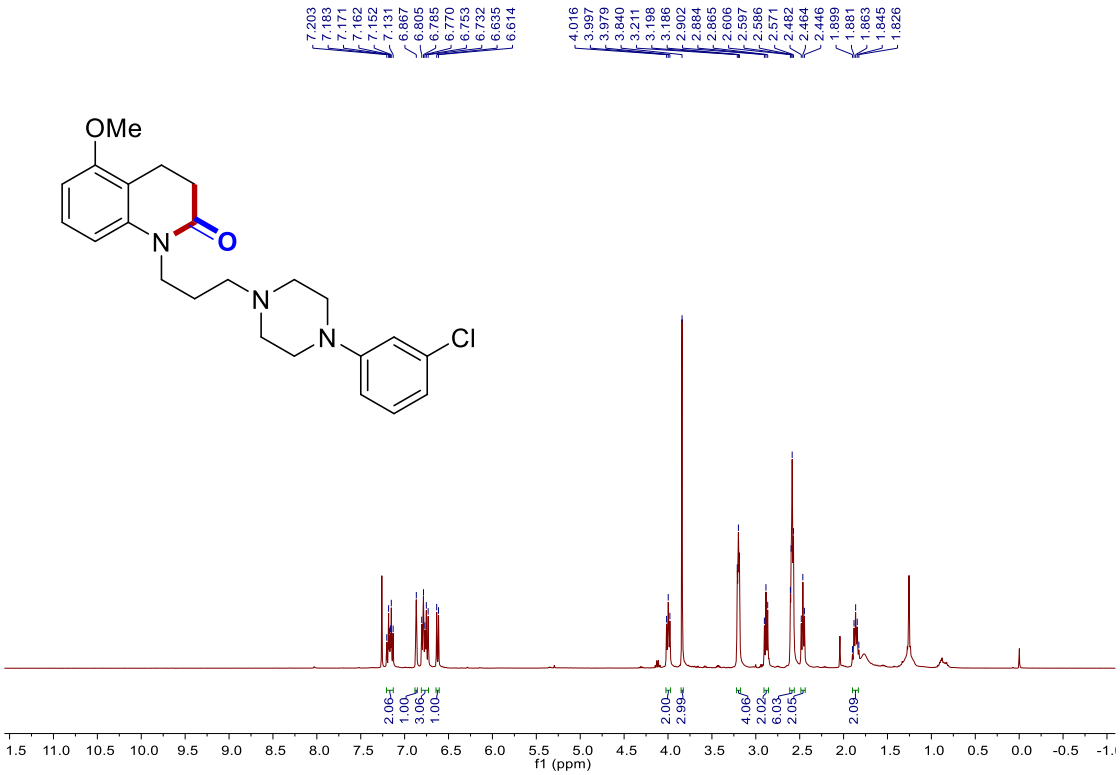
^{1}H NMR of compound 51 (400 MHz, $CDCl_3$):



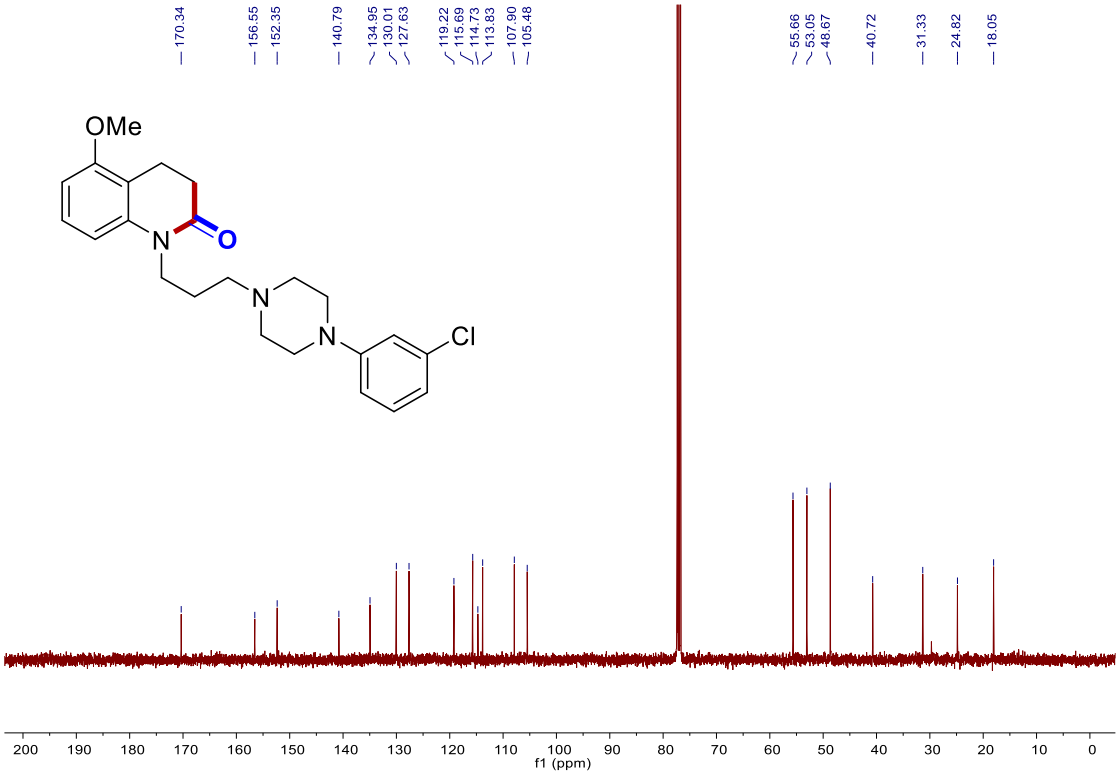
^{13}C NMR of Compound 51 (100 MHz, $CDCl_3$):



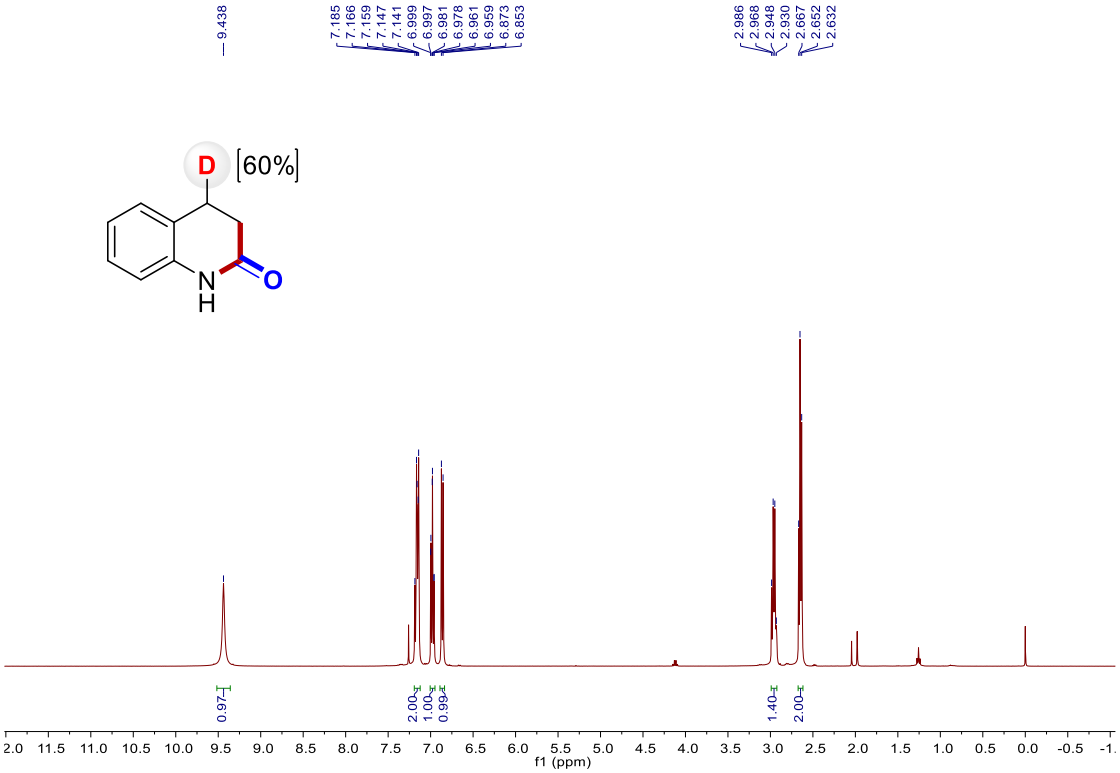
^{1}H NMR of compound 52 (400 MHz, $CDCl_3$):



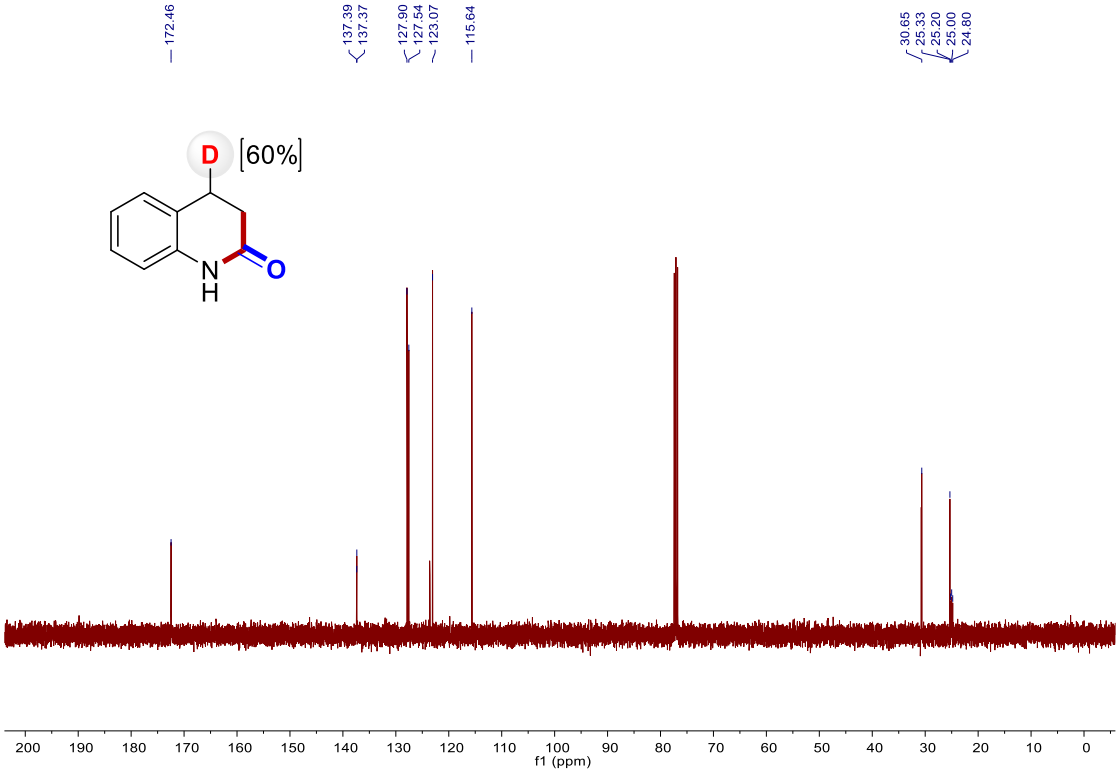
^{13}C NMR of Compound 52 (100 MHz, $CDCl_3$):



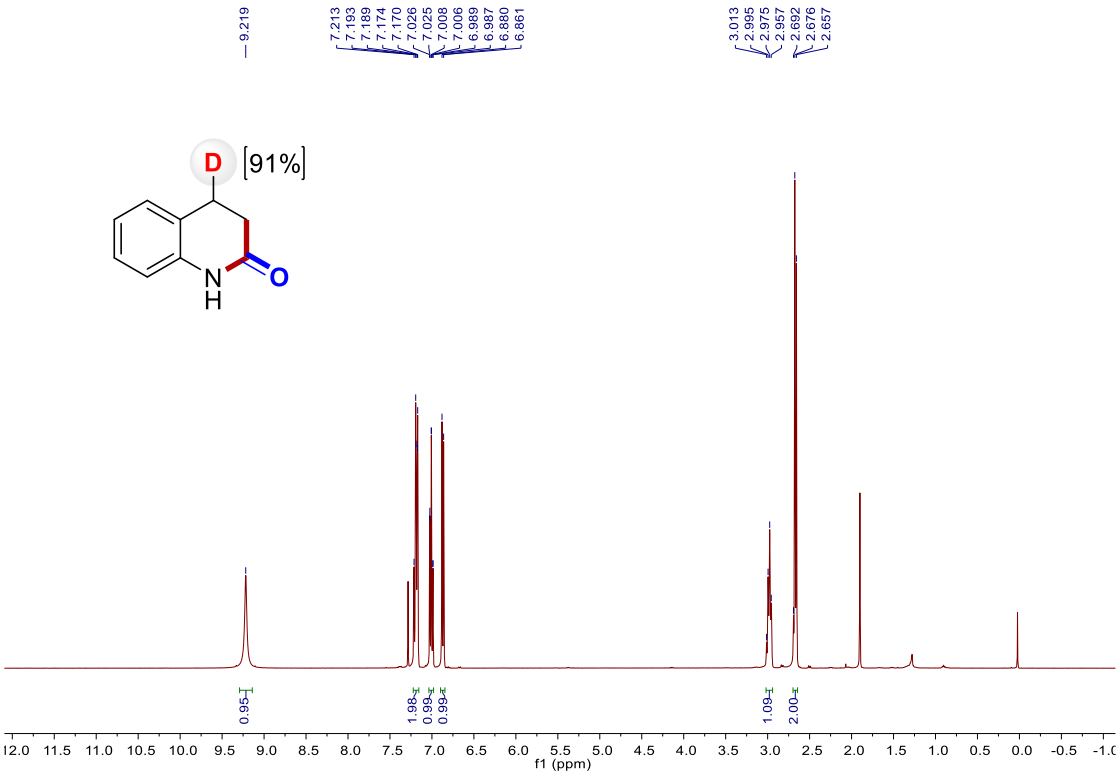
^{1}H NMR of compound 53 (400 MHz, $CDCl_3$):



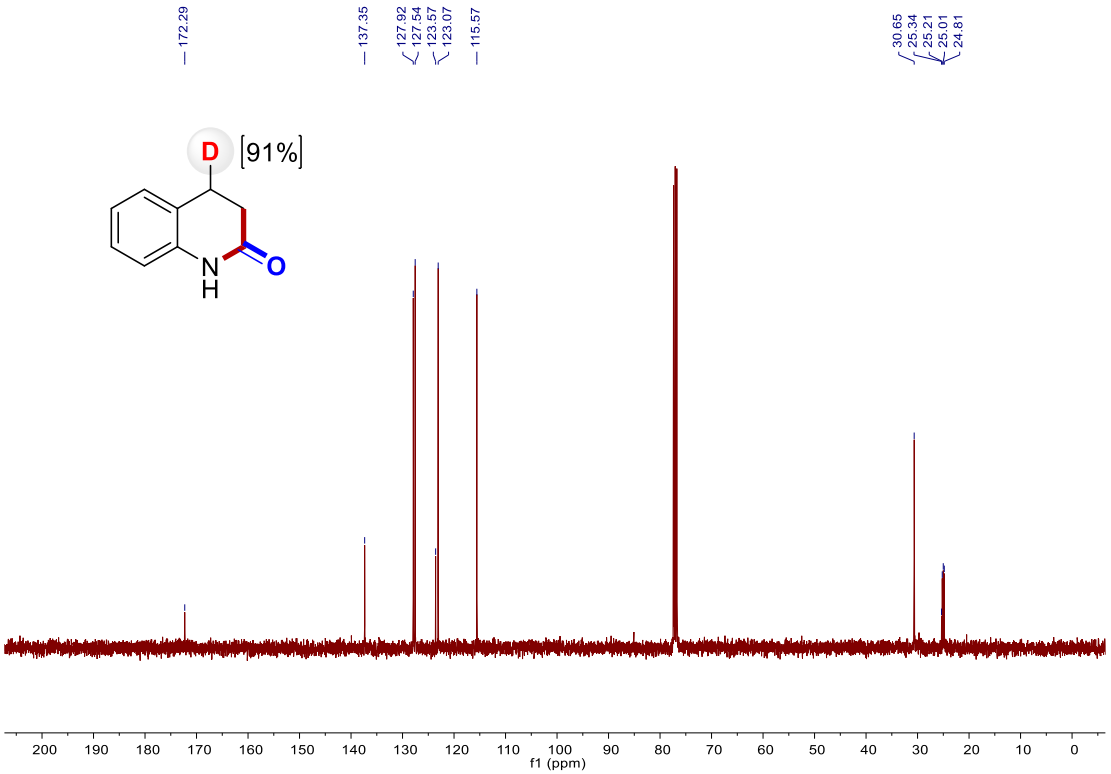
^{13}C NMR of Compound 53 (100 MHz, $CDCl_3$):



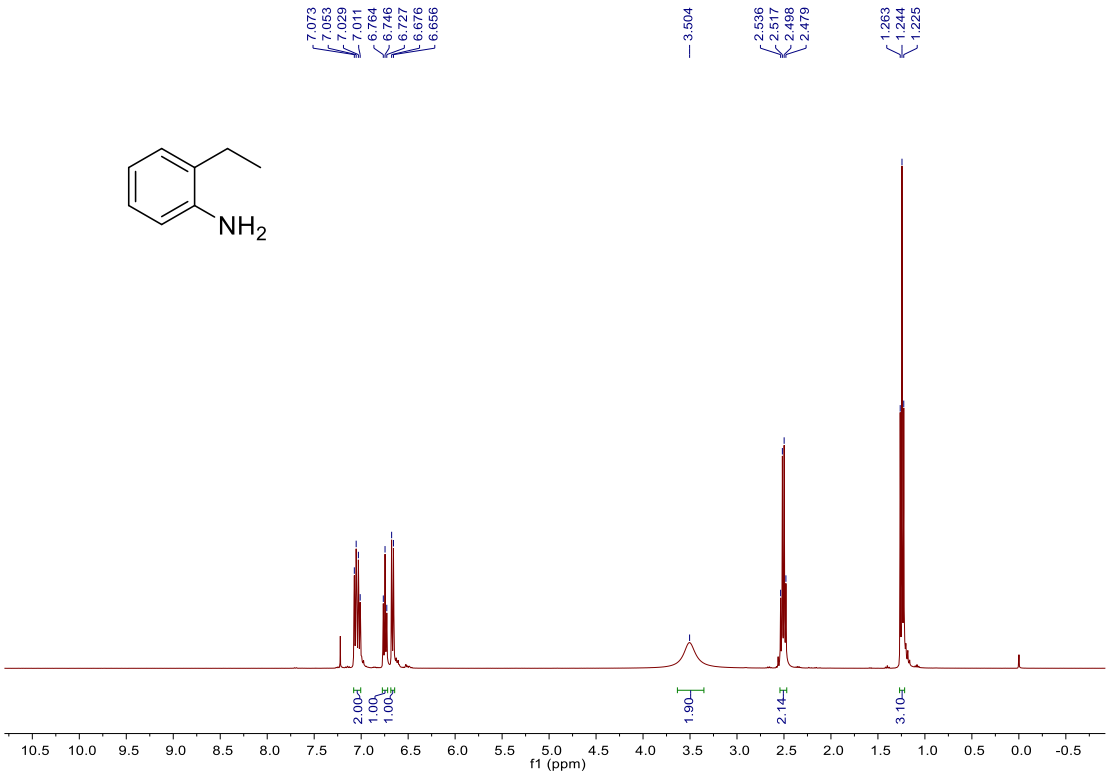
^{1}H NMR of compound 54 (400 MHz, $CDCl_3$):



^{13}C NMR of Compound 54 (100 MHz, $CDCl_3$):



^{1}H NMR of compound 55 (400 MHz, $CDCl_3$):



^{13}C NMR of compound 55 (100 MHz, $CDCl_3$):