Supporting Information

[(IPr)AuCl]-Catalyzed Formal [4+2] Process of *N*-Ar *N*,O-acetals with Arylacetylenes for Construction of Pyrrolo[1,2-*a*]quinolines

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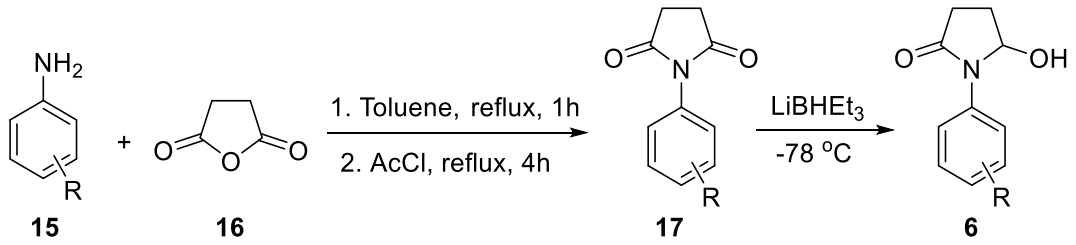
1.General Information

All commercially available reagents were used without further purification. Reactions were monitored by thin layer chromatography (TLC) on glass plates coated with silica gel with a fluorescentindicator. Flash chromatography was performed on silica gel (300−400) with Petroleum/EtOAc or DCM/MeOH as eluent. Optical rotations were measured on a polarimeter with a sodium lamp. HRMS were measured on an LTQ-Orbit. IR spectra were recorded using film on a Fourier transform infrared spectrometer. Melting points were measured using a micro melting point apparatus and are uncorrected. ^{1}H NMR (400 MHz) chemical shifts were reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard. ^{13}C NMR (100 MHz) chemical shifts were reported in ppm (δ) from tetramethylsilane (TMS) with the solvent resonance as the internal standard and ^{19}F NMR at (377 MHz) chemical shifts were reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard.

2. Experimental Details

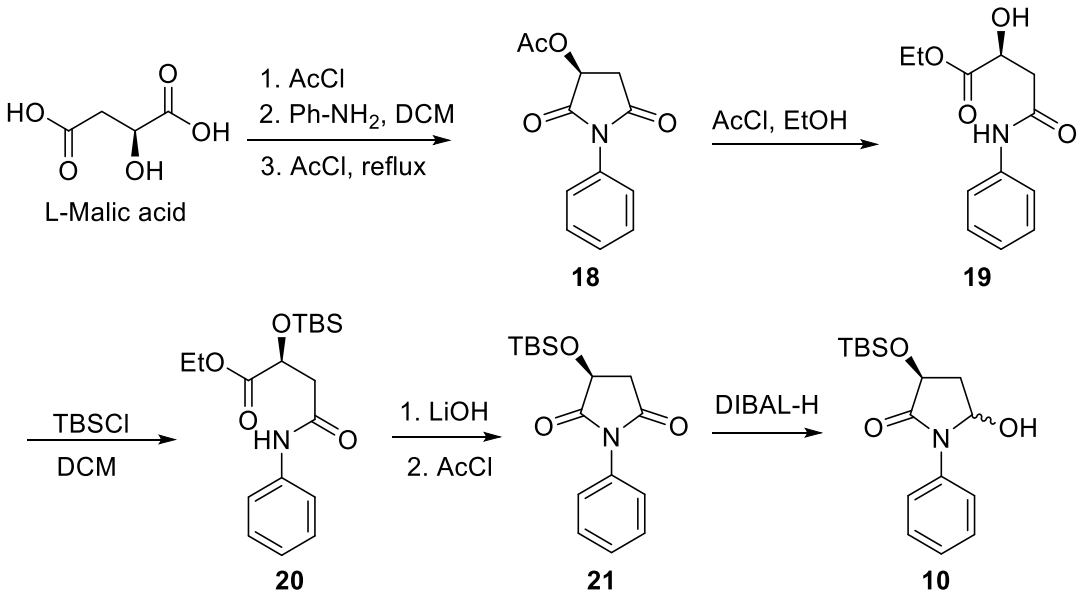
2.1 General Procedure for Synthesis of *N,O*-acetal 6[1]



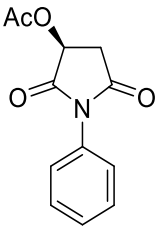
To a solution of succinic anhydride **16** (10 g, 100 mmol) in 40 mL of toluene, a solution of Substituted anilines **15** (100 mmol, 1.0 equiv.) in 10 mL toluene was added slowly to afford phenyl succinamic acid. Phenyl succinamic acid was cyclised with acetyl chloride (43 mL, 0.6 mol, 6.0 equiv.) till complete evaluation of hydrogen chloride gas. The compound **17** was recrystallized from EtOH.

Under nitrogen atmosphere, compound **17** (30 mmol) in dry THF (70 mL) was added a solution of $LiHBEt_3$ in THF (1 M, 1.1 equiv., 33 mL) at −78°C. After the resulting mixture was stirred for 1 h at the same temperature, the reaction was quenched with saturated aqueous $NaHCO_3$ solution (100 mL) and slowly warmed to room temperature. After stirring for 0.5 h, the mixture was extracted with ethyl acetate (100 mL × 3), the combined organic layers were washed with brine, dried over sodium sulfate. The filtrate was concentrated under reduced pressure, and the residue was purified by flash chromatography on silica gel.

2.2 General Procedure for Synthesis of *N,O*-acetal 10[2]



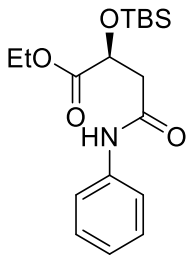
(*S*)-2,5-Dioxo-1-phenylpyrrolidin-3-yl acetate (18)



L-malic acid (37.3 mmol, 5 g) was dissolved in acetyl chloride (35 mL), refluxed for 2 hours, and then evaporated to dryness to obtain the intermediate; The intermediate was dissolved in dichloromethane (40 mL), and aniline (44.8 mmol, 3.4 mL) is added to the system at 0 °C. The reaction is carried out at room temperature for 2 hours,

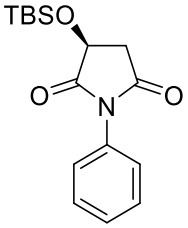
followed by evaporation to obtain a light yellow liquid compound; The liquid compound was dissolved in acetyl chloride (35 mL), refluxed for 2 hours, evaporated to dryness, and recrystallized with ethanol to obtain a white solid compound **18** (6.8 g, 78%).^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53-7.46 (m, 2H), 7.45-7.38 (m, 1H), 7.30 (d, J = 7.7 Hz, 2H), 5.56 (dd, J = 8.8, 5.0 Hz, 1H), 3.33 (dd, J = 18.4, 9.2 Hz, 1H), 2.86 (dd, J = 18.4, 5.2 Hz, 1H), 2.20 (s, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 172.6, 172.4, 170.0, 131.4, 129.4, 129.1, 126.5, 67.8, 35.9, 20.6 ppm.

Ethyl (*S*)-2-((*tert*-butyldimethylsilyl)oxy)-4-oxo-4-(phenylamino)butanoate (20)



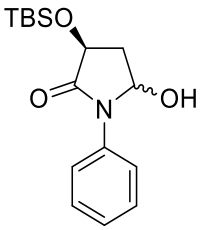
Compound **18** (20 mmol, 4.6 g) was dissolved in ethanol (60 mL), acetyl chloride (20 mmol, 1.4 mL) was added, and reacted at 45 °C for 3 hours. The solvent was evaporated to dryness to obtain crude alcohol **19**; **19** was dissolved in dichloromethane (60 mL), followed by TBSCl (20 mmol, 3.0 g), imidazole (40 mmol, 2.7 g), DMAP (10 mmol, 1.2 g), stirring at room temperature for 12 hours, quenched with saturated ammonium chloride solution, and extracted with dichloromethane. After column chromatography, a colorless oil **20** (4.4 g, 63%, PE/EA=8:1) was obtained. $[\alpha]_D^{25}$ = -35 (*c* 1.0, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.54-7.45 (m, 2H), 7.32-7.24 (m, 2H), 7.11-7.03 (m, 1H), 4.69-4.59 (m, 1H), 4.23-4.12 (m, 2H), 2.91-2.78 (m, 1H), 2.76-2.67 (m, 1H), 1.23 (t, J = 7.0 Hz, 3H), 0.89 (s, 9H), 0.13 (s, 3H), 0.07 (s, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 172.4, 167.9, 138.0, 129.0, 124.3, 120.0, 69.5, 61.5, 43.0, 25.7, 18.3, 14.2, -4.9, -5.4 ppm; IR (film) ν_{max} 3021, 2946, 1720, 1654, 1278, 746, 699cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{30}NO_4Si$ $(M+H)^+$ 352.1866, found 352.1868.

(*S*)-3-((*tert*-Butyldimethylsilyl)oxy)-1-phenylpyrrolidine-2,5-dione (21)



Compounds **20** (10 mmol, 3.5 g) was dissolved in a mixed solvent of THF/MeOH/H_2O (15 mL/15 mL/15 mL), $LiOH·H_2O$ (12 mmol, 500 mg) was added, stirred at room temperature for 2 hours. The reaction mixture was quenched with aqueous NH_4Cl solution and extracted with EtOAc. The combined organic layer was washed with brine, dried, filtered, and concentrated under reduced pressure to obtain intermediate; The intermediate was dissolved in acetyl chloride (40 mL), refluxed for 2 hours, evaporated to dryness to obtain the crude product, and followed with flash column chromatography on silica gel to obtain a white solid compound **21** (1.25 g, 41%, PE/EA = 10:1). $[\alpha]_D^{25}$ = -31.5 (*c* 1.0, $CHCl_3$), M.P. 89-92 ºC. IR (film) ν_{max} 3035, 2946, 1720, 1675, 1256, 752, 671 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.50-7.43 (m, 2H), 7.42-7.36 (m, 1H), 7.33-7.27 (m, 2H), 4.76 (dd, *J* = 8.2, 5.0 Hz, 1H), 3.24-3.12 (m, 1H), 2.85-2.76 (m, 1H), 0.94 (s, 9H), 0.24-0.19 (m, 6H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 175.8, 173.3, 131.7, 129.3, 128.8, 126.4, 68.2, 39.1, 25.8, 18.4, -4.5, -5.1 ppm; HRMS (ESI) m/z calcd for $C_{16}H_{23}NO_3SiNa^+$ $(M+Na)^+$ 328.1447, found 328.1449.

(3*S*)-3-((*tert*-Butyldimethylsilyl)oxy)-5-hydroxy-1-phenylpyrrolidin-2-one (10)



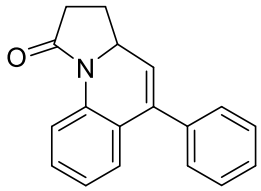
Under nitrogen protection, **21** (5 mmol, 1.53 g) was dissolved in dry dichloromethane (20mL). DIBAL-H (1.0M solution in Hexanes) (7.5 mmol, 7.5 mL) was slowly added with a syringe at -78 °C. After stirring for 15 minutes, ethyl acetate (20 mL) and saturated potassium sodium tartrate solution (20 mL) were added for quenching, extracted with ethyl acetate, dried with anhydrous sodium sulfate,

concentrated under reduced pressure, and rapidly purified on a column (PE: EA=6:1) to obtain a white solid **10** (584mg, 38%).$[\alpha]_D^{25}$ = -21.9 (*c* 1.0, $CHCl_3$), M.P. = 71.0-71.8 ℃; IR (film): ν_{max} 2929, 1694, 1500, 1412, 1257, 1095, 1002, 839, 780, 692 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.68-7.64 (m, 2H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.24-7.18 (m, 1H), 5.58-5.50 (m, 1H), 4.36 (dd, *J* = 5.8, 2.2 Hz, 1H), 3.31 (dd, *J* = 11.2, 2.4 Hz, 1H), 2.51 (dt, *J* = 13.6, 5.6 Hz, 1H), 2.05 (dt, *J* = 13.6, 2.0 Hz, 1H), 0.92 (s, 9H), 0.21 (s, 3H), 0.19 (s, 3H)ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 137.6, 129.1, 125.9, 122.15, 84.8, 71.9, 39.1, 25.8, 18.3, -4.5, -5.1 ppm; HRMS (ESI) m/z calcd for $C_{16}H_{26}NO_3Si^+$ $(M+H)^+$ 308.1676, found 308.1674.

2.3 General Procedure for Synthesis of 8, 9 and 11.

Under nitrogen atmosphere, *N*-Ar *N,O*-acetal **6** or **10** (1.0 mmol, 1.0 equiv), [(IPr)AuCl] (0.1 mol, 0.1 equiv), and $AgSbF_6$ (0.1 mol, 0.1 equiv) were dissolved in dry dichloroethane (4 mL, 0.25 M). Substituted phenylacetylenes **7** (2 mmol, 2.0 eq) was added using a syringe. The reaction was stirred at 70 °C in an oil bath for 8 hours. After the reaction was completed, the reaction system was cooled to room temperature, filtered and concentrated. The resulted crude product was purified by flash column chromatography on silica gel.

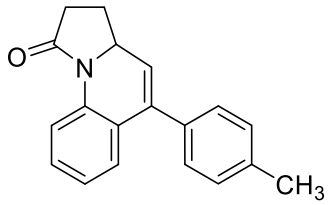
5-Phenyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8a)



White solid (235 mg, 90%, petroleum ether/diethyl ether = 1:1 v/v), M.P. = 145.6-147.2 ℃; IR (film): ν_{max} 1700, 1596, 1485, 1452, 1377, 1316, 1279, 1223, 1126, 769, 702 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (d, *J* = 8.1 Hz, 1H), 7.48-7.36 (m, 3H), 7.35-7.26 (m, 3H), 7.05 (td, *J* =7.6, 1.2 Hz, 1H), 6.6 (dd, *J* = 8.0, 1.6 Hz, 1H), 5.93 (d, *J* = 2.0 Hz, 1H), 4.81-4.73 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.32 (m, 2H), 2.07-1.92

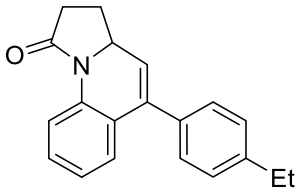
(m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.4, 138.2, 136.0, 135.1, 128.6, 128.5, 128.1, 128.0, 127.9, 126.5, 125.9, 124.0, 119.9, 55.5, 30.8, 25.8 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{16}NO^+$ $(M+H)^+$ 262.1227, found 262.1218.

5-(*p*-Tolyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8b)



Colorless viscous oil (232 mg, 85%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3442, 2256, 2129, 1652, 1487, 1383, 1289, 1152, 1025, 999, 826, 765, 632 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (dd, J = 8.2, 1.4 Hz, 1H), 7.29 (td, J = 7.6, 1.6 Hz), 7.26-7.17 (m, 4H), 7.09 (td, J = 7.6, 1.6 Hz, 1H), 6.97 (dd, J = 7.6, 1.6 Hz, 1H), 5.89 (d, J = 2 Hz, 1H), 4.78-4.70 (m, 1H), 2.65-2.52 (m, 1H), 2.46-2.33 (m, 2H), 2.34 (s, 3H), 2.06-1.91 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 137.1, 135.9, 135.3, 135.1, 129.1, 128.4, 128.1, 127.5, 126.6, 125.9, 123.8, 119.8, 55.5, 30.85, 25.7, 20.7 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}NONa^+$ $(M+Na)^+$ 298.1202, found 298.1203.

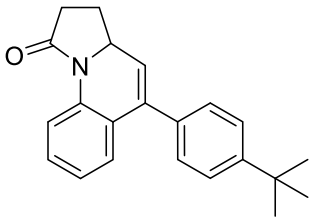
5-(4-Ethylphenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8c)



Colorless viscous oil (249 mg, 86%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3423, 2964, 2930, 1701, 1596, 1487, 1453, 1387, 1292, 1266, 1156, 1052, 836, 765, 735, 589 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (dd, J = 8.2, 1.4 Hz, 1H), 7.31 (dd, J = 7.6, 1.6 Hz, 1H), 7.30-7.20 (m, 4H), 7.05 (td, J = 7.6, 1.2 Hz, 1H), 6.98 (dd, J = 7.9, 1.7 Hz, 1H), 5.90 (d, J = 2.0 Hz, 1H), 4.79-4.71 (m, 1H), 2.64 (q, J = 7.6 Hz, 2H), 2.61-2.52 (m, 1H), 2.45-2.31 (m, 2H), 2.05-1.91 (m, 1H), 1.21 (t, J = 7.6

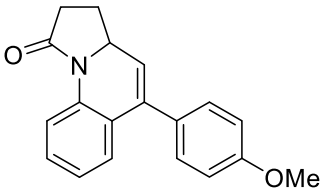
Hz, 3H)ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 143.4, 135.9, 135.5, 135.1, 128.4, 128.1, 127.9, 127.6, 126.6, 125.9, 123.9, 119.8, 55.5, 30.8, 27.9, 25.7, 15.5 ppm; HRMS (ESI) m/z calcd for $C_{20}H_{19}NONa^{+}$ $(M+Na)^{+}$ 312.1359, found 312.1362.

5-(4-(*tert*-Butyl)phenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8d)



White solid (282 mg, 89%, petroleum ether/ diethyl ether = 1:1 v/v). M.P. = 115.1-117.2 °C; IR (film): ν_{max} 3033, 2962, 1700, 1596, 1485, 1453, 1379, 1316, 1275, 1224, 1126, 931, 839, 765, 736, 594 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.12 (d, J = 8.0 Hz, 1H), 7.44 (dd, J = 6.4, 2.0 Hz, 2H), 7.33-7.22 (m, 3H), 7.05 (td, J = 7.6, 1.2 Hz, 1H), 6.99 (dd, J = 8.0, 1.6 Hz, 1H), 5.90 (d, J = 2.0 Hz, 1H), 4.80-4.71 (m, 1H), 2.66-2.52 (m, 1H), 2.46-2.32 (m, 2H), 2.05-1.90 (m, 1H), 1.31 (s, 9H)ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 150.2, 135.8, 135.2, 135.0, 128.1, 128.0, 127.6, 126.5, 125.9, 125.2, 123.8, 119.8, 55.4, 34.2, 31.1, 30.7, 25.7 ppm; HRMS (ESI) m/z calcd for $C_{22}H_{23}NONa^{+}$ $(M+Na)^{+}$ 340.1672, found 340.1676.

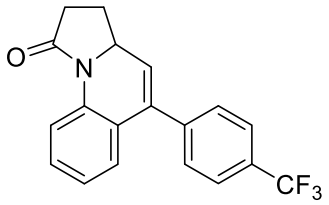
5-(4-Methoxyphenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8e)



Colorless viscous oil (244 mg, 84%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3419, 2255, 2128, 1651, 1509, 1454, 1383, 1290, 1248, 1178, 1025, 1000, 826, 765, 631 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (dd, J = 8.0, 1.2 Hz, 1H), 7.31-7.30 (m, 1H), 7.26-7.22 (m, 2H), 7.08-7.03 (m, 1H), 7.01-6.96 (m, 3H), 5.87 (d, J = 2.0 Hz, 1H), 4.76-4.71(m, 1H), 3.79 (s, 3H), 2.64-2.54 (m, 1H), 2.44-2.32 (m, 2H), 2.04-1.92 (m, 1H)ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.5, 159.0, 135.7,

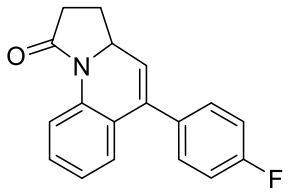
135.2, 130.5, 129.8, 128.2, 127.4, 126.9, 126.0, 124.0, 119.9, 114.0, 55.5, 55.2, 30.9, 25.8 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}NO_2Na^+$ $(M+Na)^+$ 314.1151, found 314.1150.

5-(4-(Trifluoromethyl)phenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8f)



White solid (247 mg, 75%, petroleum ether/diethyl ether = 1:1 v/v), M.P. = 159.0-160.2 ℃ IR (film): ν_{max} 3419, 2255, 2128, 1650, 1376, 1325, 1166, 1125, 1025, 1001, 826, 765, 630 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.13 (dd, J = 8.0, 1.2 Hz, 1H), 7.80 (d, J = 8.0 Hz, 2H), 7.56 (d, J = 8.0 Hz, 2H), 7.32 (td, J = 7.6, 1.6 Hz, 1H), 7.07 (td, J = 7.6, 1.2 Hz, 1H), 6.93 (dd, J = 8.0, 1.6 Hz, 1H), 6.05 (d, J = 2.0 Hz, 1H), 4.85-4.76 (m, 1H), 2.68-2.54 (m, 1H), 2.48-2.32 (m, 2H), 2.08-1.94 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.4, 142.5, 135.1, 134.9, 129.5, 129.4, 128.4, 128.3 (q, J_{C-F} = 31.6 Hz), 125.9, 125.7, 125.6 (q, J_{C-F} = 270.4 Hz), 125.4 (q, J_{C-F} = 3.8 Hz), 124.0, 122.8, 120.0, 55.5, 30.7, 25.6 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -61.0 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{14}F_3NONa^+$ $(M+Na)^+$ 352.0919, found 352.0920.

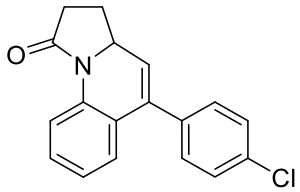
5-(4-Fluorophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8g)



Colorless viscous oil (262 mg, 94%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3449, 1698, 1636, 1507, 1485, 1453, 1378, 1316, 1278, 1222, 1156, 840, 767, 686, 581 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (dd, J = 8.4, 1.2 Hz, 1H), 7.40-7.33 (m, 2H), 7.33-7.22 (m, 3H), 7.06 (td, J = 7.6, 1.2 Hz, 1H), 6.94 (dd, J = 7.6, 1.4 Hz, 1H), 5.94 (d, J = 2 Hz, 1H), 4.81-4.73 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.32 (m, 2H), 2.08-1.93 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 161.7

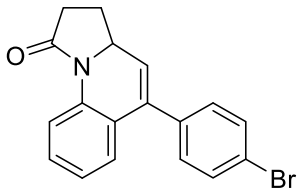
(d, $J_{C\text{-}F}$ = 243.0 Hz), 135.0 (d, $J_{C\text{-}F}$ = 2.9 Hz), 134.5 (d, $J_{C\text{-}F}$ = 3.2 Hz), 130.5 (d, $J_{C\text{-}F}$ = 8.2 Hz), 128.2, 128.2, 126.4, 125.7, 123.9, 119.8, 115.3 (d, $J_{C\text{-}F}$ = 21.5 Hz), 55.4, 30.7, 25.6 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -117.6 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}FNONa^+$ $(M+Na)^+$ 302.0952, found 302.0952.

5-(4-Chlorophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8h)



White solid (271 mg, 92%, petroleum ether/diethyl ether = 1:1 v/v), M.P. = 121.5-123.1 ℃; IR (film): ν_{max} 3419, 2255, 2128, 1653, 1484, 1376, 1260, 1025, 1000, 825, 764, 630 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (dd, J = 8.0, 1.2 Hz, 1H), 7.53-7.46 (m, 2H), 7.38-7.27 (m, 3H), 7.07 (td, J = 7.6, 1.2 Hz, 1H), 6.94 (dd, J = 7.6, 1.6 Hz, 1H), 5.97 (d, J = 2.0 Hz, 1H), 4.81-4.72 (m, 1H), 2.67-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.08-1.93 (m, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 173.4, 137.0, 135.1, 134.9, 132.5, 130.4, 128.6, 128.5, 128.3, 126.2, 125.7, 123.9, 119.9, 55.5, 30.8, 25.68 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}ClNONa^+$ $(M+Na)^+$ 318.0656, found 318.0656.

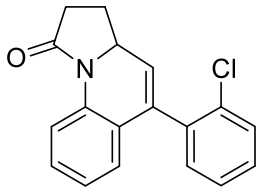
5-(4-Bromophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8i)



White solid (292 mg, 86%, petroleum ether/diethyl ether = 1:1 v/v), M.P. = 140.4-141.2 ℃; IR (film): ν_{max} 3449, 2926, 1700, 1596, 1484, 1452, 1376, 1316, 1223, 1104, 1071, 1010, 832, 755 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.12 (dd, J = 8.4, 1.2 Hz, 1H), 7.52-7.45 (m, 2H), 7.37-7.26 (m, 3H), 7.06 (td, J = 7.6, 1.2 Hz, 1H), 6.97 (dd, J = 7.6, 1.6 Hz, 1H), 5.96 (d, J = 1.6 Hz, 1H), 4.80-4.72 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.07-1.92 (m, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 173.3,

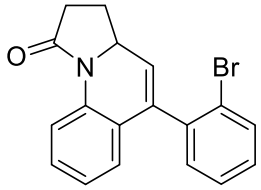
137.0, 135.0, 134.9, 132.5, 130.3, 128.5, 128.5, 128.2, 126.1, 125.6, 123.9, 119.8, 55.4, 30.7, 25.6 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}BrNONa^+$ $(M+Na)^+$ 362.0259, found 362.0260.

5-(2-Chlorophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8j)



White solid (212 mg, 72%, petroleum ether/diethyl ether = 1:1 v/v), M.P. = 123.2-125.3 ℃; IR (film): ν_{max} 1696, 1646, 1597, 1487, 1454, 1434, 1377, 1283, 1253, 1235, 1025, 754 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (t, J = 7.8 Hz, 1H), 7.60 (d, J = 8.0 Hz, 0.37H), 7.54-7.34 (m, 3.37H), 7.26 (td, J = 7.8, 1.6 Hz, 1.26H), 7.00 (t, J = 7.6 Hz, 1H), 6.55 (m, 1H), 5.95 (d, J = 1.6 Hz, 0.63H), 5.90 (d, J = 1.6 Hz, 0.37H),4.93-4.86 (m, 0.37H), 4.86-4.78 (m, 0.63H), 2.67-2.54 (m, 1H), 2.47-2.32 (m, 2H), 2.06-1.91 (m, 1H)ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.7, 173.5, 137.0, 136.8, 134.7, 134.4, 134.3, 133.3, 132.9, 132.3, 131.9, 131.1, 129.9, 129.7, 129.6, 129.5, 129.4, 129.2, 128.2, 128.1, 127.7, 127.4, 126.0, 125.9, 125.5, 125.9, 124.0, 119.7, 119.6, 55.7, 30.8, 25.9 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}ClNONa^+$ $(M+Na)^+$ 318.0656, found 318.0655.

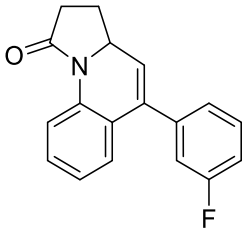
5-(2-Bromophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8k)



White solid (308 mg, 91%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 128.4-130.2 ℃; IR (film): ν_{max} 3443, 1698, 1486, 1453, 1376, 1317, 1284, 1224, 1124, 1026, 851, 766, 752, 588 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (td, J = 8.2, 1.2 Hz, 1H), 7.76 (dd, J = 8.0, 1.2 Hz, 0.38H), 7.69 (dd, J = 8.0, 1.2 Hz, 0.62H), 7.51 (td, J = 7.4, 1.2 Hz, 0.62H), 7.47-7.31 (m, 2.14H), 7.30-7.22 (m, 1.24H), 7.04-6.96 (m, 1H),

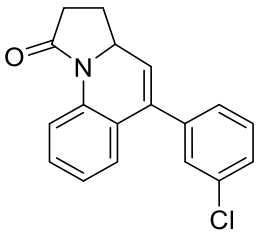
6.57-6.49 (m, 1H), 5.92 (d, J = 2.0 Hz, 0.62H), 5.87 (d, J = 2.0 Hz, 0.38H), 4.92-4.85 (m, 0.38H), 4.85-4.77 (m, 0.62H), 2.67-2.54 (m, 1H), 2.47-2.33 (m, 2H), 2.07-1.89 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.7, 173.6, 139.0, 138.9, 135.9, 135.1, 134.7, 134.5, 132.8, 132.6, 131.9, 131.0, 130.0, 130.0, 129.1, 129.0, 128.3, 128.2, 128.1, 128.0, 126.1, 125.8, 125.6, 125.2, 124.0, 123.5, 122.8, 119.7, 119.6, 55.7, 55.7, 30.8, 26.0, 25.9 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}BrNONa^{+}$ $(M+Na)^{+}$ 362.0151, found 362.0151.

5-(3-Fluorophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8l)



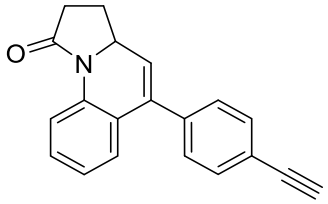
Colorless viscous oil (176 mg, 63%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3448, 1638, 1486, 1453, 1376, 1316, 1285, 1223, 1127, 1025, 772 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.12 (dd, J = 8.0, 0.8 Hz, 1H), 7.52-7.43 (m, 1H), 7.34-7.28 (m, 1H), 7.27-7.20 (m, 1H), 7.19-7.12 (m, 2H), 7.07 (td, J = 7.6, 1.2 Hz, 1H), 6.96 (dd, J = 7.6, 1.2 Hz, 1H), 6.00 (d, J = 2.0 Hz, 1H), 4.83-4.72 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.08-1.92 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 162.1 (d, J_{C-F} = 242.7 Hz), 140.6 (d, J_{C-F} = 7.6 Hz), 135.0, 134.9 (d, J_{C-F} = 2.1 Hz), 130.4 (d, J_{C-F} = 8.4 Hz), 128.8, 128.2, 126.0, 125.6, 124.6 (d, J_{C-F} = 2.6 Hz), 123.7, 119.9, 115.3 (d, J_{C-F} = 21.3 Hz), 114.6 (d, J_{C-F} = 20.6 Hz), 55.4, 30.7, 25.5 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -114.9 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}FNONa^{+}$ $(M+Na)^{+}$ 302.0952, found 302.0953.

5-(3-Chlorophenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8m)



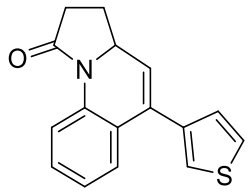
Yellow solid (195 mg, 66%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 94.7-96.2 ℃; IR (film): ν_{max} 3450, 3060, 1699, 1594, 1562, 1485, 1452, 1377, 1315, 1278, 1224, 1127, 1079, 850, 767, 700 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (dd, J = 8.0, 1.2 Hz, 1H), 7.49-7.44 (m, 2H), 7.39-7.35 (m, 1H), 7.35-7.25 (m, 2H), 7.07 (td, J = 7.6, 1.2 Hz, 1H), 6.94 (dd, J = 7.6, 1.6 Hz, 1H), 6.00 (d, J = 2.0 Hz, 1H), 4.82-4.72 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.32 (m, 2H), 2.07-1.95 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 140.3, 135.0, 134.7, 133.2, 130.3, 129.0, 128.3, 128.2, 127.8, 127.2, 126.0, 125.6, 123.9, 119.9, 55.4, 30.7, 25.5 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}ClNONa^+$ $(M+Na)^+$ 318.0656, found 318.0655.

5-(4-Ethynylphenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8n)



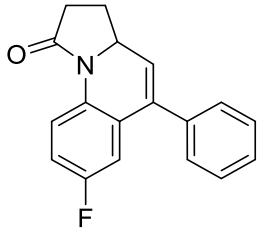
White solid (154 mg, 54%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 94.4-95.5 ℃; IR (film): ν_{max} 3440, 2104, 1644, 1485, 1453, 1378, 1315, 1224, 841, 586 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (d, J = 8.4 Hz, 1H), 7.53 (d, J = 8.0 Hz, 2H), 7.36-7.26 (m, 3H), 7.06 (t, J = 7.6 Hz, 1H), 6.95 (dd, J = 7.6, 1.6 Hz, 1H), 5.98 (d, J = 2.0 Hz, 1H), 4.81-4.70 (m, 1H), 4.25 (s, 1H), 2.66-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.07-1.92 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.5, 138.9, 135.4, 135.2, 132.0, 128.9, 128.8, 128.4, 126.2, 125.9, 124.1, 121.3, 120.0, 83.3, 81.5, 55.6, 30.9, 25.7 ppm; HRMS (ESI) m/z calcd for $C_{20}H_{15}NONa^+$ $(M+Na)^+$ 308.1046, found 308.1042.

5-(Thiophen-3-yl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (8o)



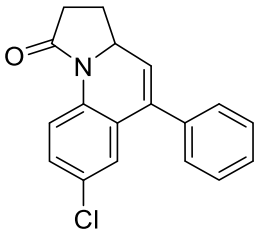
Colorless viscous oil (216 mg, 81%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): v_{max} 3442, 2076, 1637, 1486, 1380, 1227, 753, 746, 575 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.10 (dd, J = 8.0, 1.2 Hz, 1H), 7.63 (dd, J = 5.0, 3.0 Hz, 1H), 7.55 (dd, J = 3.0, 1.4 Hz, 1H), 7.35-7.27 (m, 1H), 7.19-7.10 (m, 2H), 7.09 (td, J = 7.8, 1.4 Hz, 1H), 6.03 (d, J = 2.0 Hz, 1H), 4.78-4.70 (m, 1H), 2.66-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.07-1.92 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 138.6, 135.0, 131.0, 128.2, 128.0, 127.8, 126.4, 126.4, 125.6, 124.0, 123.8, 119.8, 55.2, 30.8, 25.6 ppm; HRMS (ESI) m/z calcd for $C_{16}H_{13}NOSNa^{+}$ $(M+Na)^{+}$ 290.0610, found 290.0610.

7-Fluoro-5-phenyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9a)



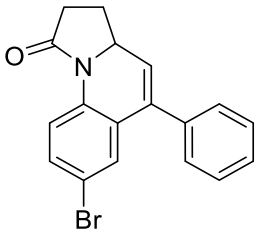
Colorless viscous oil (229 mg, 82%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): v_{max} 3442, 2256, 2129, 1650, 1489, 1379, 1288, 1231, 1199, 1152, 1025, 826, 765, 701 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.13 (dd, J = 8.8, 5.6 Hz, 1H), 7.51-7.39 (m, 3H), 7.36-7.29 (m, 2H), 7.15 (td, J = 8.8, 3.2 Hz, 1H), 6.66 (dd, J = 10.0, 2.8 Hz, 1H), 6.03 (d, J = 1.6 Hz, 1H), 4.82-4.73 (m, 1H), 2.66-2.53 (m, 1H), 2.47-2.31 (m, 2H), 2.07-1.93 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 158.1 (d, J_{C-F} =239.1 Hz), 137.6, 135.2 (d, J_{C-F} = 2.0 Hz), 131.4 (d, J_{C-F} = 2.8 Hz), 129.6, 128.7, 128.4, 128.1, 121.6 (d, J_{C-F} =8.1 Hz), 114.5 (d, J_{C-F} = 22.1 Hz), 112.2 (d, J_{C-F} = 24.1 Hz), 55.5, 30.6, 25.6 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -117.4 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}FNONa^{+}$ $(M+Na)^{+}$ 302.0952, found 302.0954.

7-Chloro-5-phenyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9b)



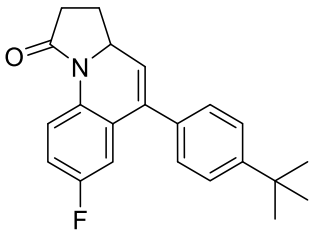
Colorless viscous oil (254 mg, 86%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): v_{max} 3441, 3028, 1606, 1520, 1486, 1376, 1343, 1250, 1184, 1158, 1090, 1010, 814, 731, 696, 543 cm^{-1}; ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.14 (d, J = 8.4 Hz, 1H), 7.50-7.40 (m, 3H), 7.37 (dd, J = 8.8, 2.4 Hz, 1H), 7.34-7.30 (m, 2H), 6.86 (d, J = 2.4 Hz, 1H), 4.82-4.77 (m, 1H), 2.66-2.55 (m, 1H), 2.45-2.34 (m, 2H), 2.06-1.94 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (151 MHz, DMSO-d_6) δ 173.7, 137.6, 135.1, 134.0, 129.7, 128.8, 128.6, 128.6, 128.3, 128.0, 127.8, 125.3, 121.5, 55.7, 30.9, 25.9 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}ClNONa^{+}$ $(M+Na)^{+}$ 318.0764, found 318.0766.

7-Bromo-5-phenyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9c)



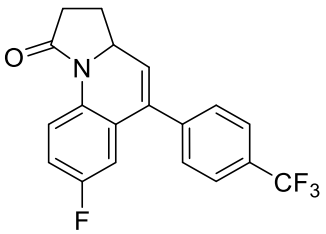
White solid (302 mg, 89%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 119.4-120.6 ℃; IR (film): v_{max} 3419, 2255, 2128, 1650, 1290, 1152, 1026, 826, 764, 630 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.09 (d, J = 8.8 Hz, 1H), 7.53-7.38 (m, 4H), 7.35-7.29 (m, 2H), 7.00 (d, J = 2.4 Hz, 1H), 6.01 (d, J = 2.0 Hz, 1H), 4.84-4.75 (m, 1H), 2.67-2.53 (m, 1H), 2.47-2.32 (m, 2H), 2.08-1.93 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.6, 137.5, 134.9, 134.3, 130.7, 129.5, 128.9, 128.7, 128.5, 128.2, 128.0, 121.7, 115.8, 55.5, 30.7, 25.8 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{14}BrNONa^{+}$ $(M+Na)^{+}$ 362.0259, found 362.0260.

5-(4-(*tert*-Butyl)phenyl)-7-fluoro-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9d)



White solid (268 mg, 80%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 164.3-165.8 ℃; IR (film): ν_{max} 2963, 1697, 1579, 1487, 1381, 1266, 1232, 1202, 1121, 949, 879, 819, 755 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.12 (dd, J = 8.8, 5.6 Hz, 1H), 7.46 (d, J = 8.2 Hz, 2H), 7.26 (d, J = 8.2 Hz, 2H), 7.15 (td, J = 8.6, 2.8 Hz, 1H), 6.70 (dd, J = 9.8, 3.0 Hz, 1H), 6.01 (d, J = 2.0 Hz, 1H), 4.81-4.72 (m, 1H), 2.66-2.53 (m, 1H), 2.47-2.31 (m, 2H), 2.06-1.91 (m, 1H), 1.31 (s, 9H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 158.1 (d, J_{C-F} = 238.9 Hz), 150.6, 135.0 (d, J_{C-F} = 1.9 Hz), 134.6, 131.4 (d, J_{C-F} = 2.5 Hz), 129.3, 128.7 (d, J_{C-F} = 7.7 Hz), 128.1, 125.4, 121.6 (d, J_{C-F} = 8.1 Hz), 114.4 (d, J_{C-F} = 22.3 Hz), 112.2 (d, J_{C-F} = 24.0 Hz), 55.5, 34.3, 31.0, 30.6, 25.6 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -117.45 ppm; HRMS (ESI) m/z calcd for $C_{22}H_{22}FNO^+$ $(M+H)^+$ 336.1758, found 334.1750.

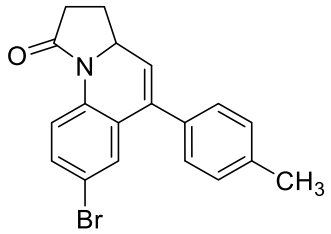
7-Fluoro-5-(4-(trifluoromethyl)phenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9e)



White solid (288 mg, 83%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 162.2-163.4 ℃; IR (film): ν_{max} 1699, 1615, 1487, 1381, 1324, 1233, 1166, 1124, 1066, 1019, 950, 845, 820, 755 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.14 (dd, J = 9.0, 5.4 Hz, 1H), 7.81 (d, J = 7.8 Hz, 2H), 7.58 (d, J = 7.8 Hz, 2H), 7.23-7.14 (m, 1H), 6.67 (dd, J = 9.8, 3.0 Hz, 1H), 6.15 (s, 1H), 4.85-4.77 (m, 1H), 2.67-2.55 (m, 1H), 2.48-2.32 (m, 2H), 2.08-1.95 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.4, 158.2 (d, J_{C-F} = 239.3 Hz), 141.8, 134.1, 131.4 (d, J_{C-F} = 2.4 Hz), 131.1, 129.4, 128.6 (q, J_{C-F} = 31.7 Hz), 127.9 (d, J_{C-F} = 7.7 Hz), 125.6 (q, J_{C-F} = 4.2 Hz), 122.8, 121.7 (d, J_{C-F} = 8.1

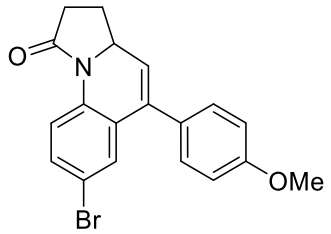
Hz), 114.8 (d, $J_{C\text{-}F}$ = 22.2 Hz), 112.2 (d, $J_{C\text{-}F}$ = 24.2 Hz), 55.5, 30.6, 25.5 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -61.1, -117.2 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{14}F_4NO^+$ $(M+H)^+$ 348.1006, found 348.0999.

7-Bromo-5-(*p*-tolyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9f)



Yellow solid (297 mg, 84%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 151.3-152.6 ℃; IR (film): ν_{max} 1701, 1511, 1478, 1377, 1315, 1282, 1220, 1155, 1131, 1082, 916, 818, 755 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 (d, J = 8.4 Hz, 1H), 7.48 (dd, J = 8.8, 2.4 Hz, 1H), 7.26 (d, J = 7.8 Hz, 2H), 7.20 (d, J = 7.8 Hz, 2H), 7.01 (d, J = 2.4 Hz, 1H), 5.96 (d, J = 2.0 Hz, 1H), 4.82-4.73 (m, 1H), 2.66-2.53 (m, 1H), 2.45-2.36 (m, 2H), 2.35 (s, 3H), 2.06-1.91 (m, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 173.6, 137.5, 134.8, 134.6, 134.3, 130.7, 129.3, 129.0, 129.0, 128.4, 128.1, 121.7, 115.7, 55.5, 30.7, 25.8, 20.8 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}BrNO^+$ $(M+H)^+$ 354.0488, found 354.0480.

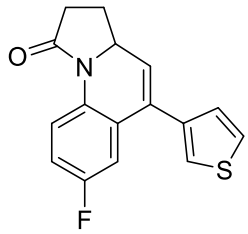
7-Bromo-5-(4-methoxyphenyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9g)



Yellow solid (273 mg, 74%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 119.4-120.8 ℃; IR (film): ν_{max} 2835, 1700, 1608, 1510, 1477, 1377, 1289, 1247, 1178, 1082, 1033, 916, 835, 754 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 (d, J = 8.8 Hz, 1H), 7.49 (dd, J = 8.8, 2.4 Hz, 1H), 7.29-7.22 (m, 2H), 7.06-6.98 (m, 3H), 5.95 (d, J = 2.0 Hz, 1H), 4.82-4.73 (m, 1H), 3.80 (s, 3H), 2.66-2.53 (m, 1H), 2.45-2.32 (m, 2H), 2.07-1.92 (m, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 173.7, 159.2, 134.6, 134.4,

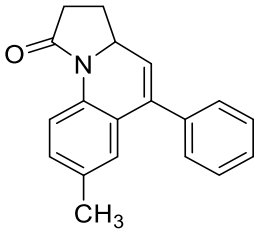
130.8, 129.8, 129.7, 129.2, 128.9, 128.2, 121.8, 115.9, 114.2, 55.6, 55.2, 30.9, 25.9 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}BrNO_2^+$ $(M+H)^+$ 370.0437, found 354.0429.

7-Fluoro-5-(thiophen-3-yl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9h)



Colorless viscous oil (239 mg, 84%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 1696, 1607, 1580, 1487, 1380, 1265, 1233, 1181, 1123, 1095, 935, 844, 754 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.11 (dd, J = 9.0, 5.4 Hz, 1H), 7.66 (dd, J = 4.8, 3.2 Hz, 1H), 7.60 (dd, J = 2.8, 1.2 Hz, 1H), 7.22-7.12 (m, 2H), 6.87 (dd, J = 10.0, 2.8 Hz, 1H), 6.13 (d, J = 2.0 Hz, 1H), 4.79-4.71 (m, 1H), 2.65-2.53 (m, 1H), 2.46-2.31 (m, 2H), 2.07-1.93 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.3, 158.2 (d, J_{C-F} = 239.1 Hz), 137.9, 131.3 (d, J_{C-F} = 2.6 Hz), 130.2 (d, J_{C-F} = 2.2 Hz), 129.4, 128.5 (d, J_{C-F} = 7.7 Hz), 127.9, 126.8, 124.2, 121.6 (d, J_{C-F} = 8.2 Hz), 114.5 (d, J_{C-F} = 22.2 Hz), 112.1 (d, J_{C-F} = 24.2 Hz), 55.3, 30.6, 25.5 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -117.2 ppm; HRMS (ESI) m/z calcd for $C_{16}H_{13}FNOS^+$ $(M+H)^+$ 286.0697, found 286.0689.

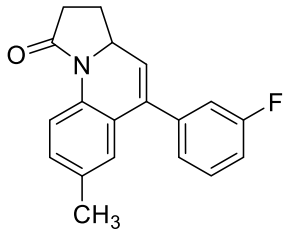
7-Methyl-5-phenyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9i)



Colorless viscous oil (250 mg, 91%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3441, 2925, 1700, 1605, 1521, 1345, 1158, 1089, 1025, 812, 751, 702, 543 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.00 (d, J = 8.4 Hz, 1H), 7.47-7.36 (m, 3H), 7.35-7.28 (m, 2H), 7.11 (dd, J = 8.4, 2.0 Hz, 1H), 6.76 (d, J = 2.0 Hz, 1H), 5.91 (d, J = 2.0 Hz, 1H), 4.77-4.68 (m, 1H), 2.64-2.52 (m, 1H), 2.45-2.30 (m, 2H), 2.17 (s, 3H),

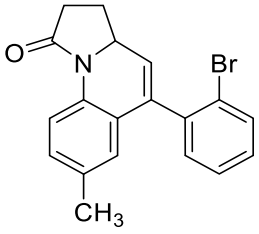
2.06-1.91 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.1, 138.3, 136.1, 132.8, 132.7, 128.6, 128.5, 128.5, 128.1, 127.8, 126.4, 126.2, 119.7, 55.5, 30.8, 25.6, 20.6 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}NONa^{+}$ $(M+Na)^{+}$ 298.1202, found 298.1202.

5-(3-Fluorophenyl)-7-methyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9j)



Colorless viscous oil (249 mg, 85%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 1695, 1611, 1581, 1490, 1438, 1381, 1286, 1227, 1182, 1131, 828, 780, 755 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.00 (d, J = 8.0 Hz, 1H), 7.53-7.43 (m, 1H), 7.28-7.20 (m, 1H), 7.19-7.08 (m, 3H), 6.76 (d, J = 2.0 Hz, 1H), 5.98 (d, J = 1.6 Hz, 1H), 4.77-4.68 (m, 1H), 2.65-2.52 (m, 1H), 2.45-2.30 (m, 2H), 2.18 (s, 3H), 2.06-1.91 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.1, 162.2 (d, J_{C-F} = 242.5 Hz), 140.8 (d, J_{C-F} = 7.6 Hz), 135.0 (d, J_{C-F} = 2.2 Hz), 133.0, 132.6, 130.5 (d, J_{C-F} = 8.5 Hz), 129.0, 128.8, 126.1, 126.0 , 124.7 (d, J_{C-F} = 2.7 Hz), 119.8, 115.4 (d, J_{C-F} = 21.6 Hz), 114.7 (d, J_{C-F} = 20.7 Hz), 55.5, 30.7, 25.6, 20.6 ppm; ^{19}F NMR (377 MHz, DMSO-d_6) δ -112.8 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}FNO^{+}$ $(M+H)^{+}$ 294.1289, found 294.1282.

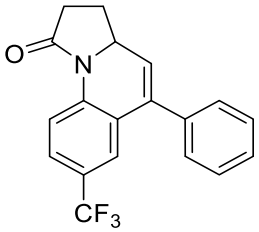
5-(2-Bromophenyl)-7-methyl-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9k)



Colorless viscous oil (233 mg, 92%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 2360, 1696, 1492, 1431, 1376, 1317, 1286, 1227, 1149, 1025, 821, 758, 535 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.01 (dd, J = 9.6, 8.4 Hz, 1H), 7.76 (dd, J = 8.0,

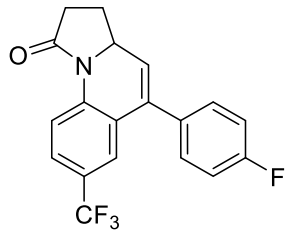
1.2 Hz, 0.39H), 7.69 (dd, J = 8.0, 1.2 Hz, 0.61H), 7.51 (td, J = 7.4, 1.2 Hz, 0.61H), 7.46-7.31 (m, 2H), 7.23 (dd, J = 7.4, 1.8 Hz, 0.39H), 7.07 (dt, J = 8.2, 2.4 Hz, 1H), 6.34 (t, J = 2.8 Hz, 1H), 5.88 (d, J = 2.0 Hz, 0.61H), 5.84 (d, J = 1.6 Hz, 0.39H), 4.87-4.80 (m, 0.39H), 4.80-4.73 (m, 0.61H), 2.65-2.52 (m, 1H), 2.46-2.31 (m, 2H), 2.12 (s, 3H), 2.05-1.87 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 173.5, 173.3, 139.0, 138.9, 136.0, 135.1, 132.9, 132.8, 132.6, 132.3, 132.2, 131.9, 131.0, 130.0, 129.9, 129.2, 128.7, 128.6, 128.2, 127.9, 126.0, 125.9, 125.8, 125.5, 123.5, 122.8, 119.6, 119.5, 55.7, 30.8, 26.0, 25.9, 20.6 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{17}BrNO^{+}$ $(M+H)^{+}$ 354.0488, found 354.0478.

5-Phenyl-7-(trifluoromethyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9l)



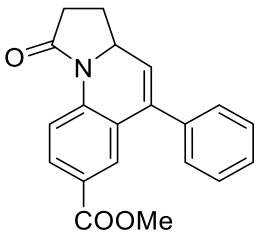
Colorless viscous oil (224 mg, 68%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3422, 2256, 2129, 1653, 1494, 1338, 1313, 1121, 1026, 999, 827, 765, 630 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.35 (d, J = 8.4 Hz, 1H), 7.68 (dd, J = 8.4, 2.4 Hz, 1H), 7.51-7.39 (m, 3H), 7.33 (dd, J = 6.2, 1.8 Hz, 2H), 7.16 (d, J = 2.0 Hz, 1H), 6.06 (d, J = 1.6 Hz, 1H), 4.90-4.81 (m, 1H), 2.72-2.58 (m, 1H), 2.48-2.37 (m, 2H), 2.11-1.96 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 174.1, 138.4, 137.4, 134.9, 129.6, 128.8, 128.5, 128.3, 127.0, 125.3 (q, J_{C-F} = 4.1 Hz), 123.9 (q, J_{C-F} = 31.9 Hz), 122.6, 122.0 (q, J_{C-F} = 3.9 Hz), 120.1, 55.6, 30.8, 26.0 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -60.9 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{14}F_3NONa^{+}$ $(M+Na)^{+}$ 352.0920, found 352.0919.

5-(4-Fluorophenyl)-7-(trifluoromethyl)-3,3a-dihydropyrrolo[1,2-*a*]quinolin-1(2*H*)-one (9m)



White solid (243 mg, 70%, petroleum ether/diethyl ether = 1:1 v/v). M.P. = 138.4-139.7 °C; IR (film): ν_{max} 3478, 1709, 1609, 1509, 1376, 1317, 1224, 1155, 1122, 1077, 925, 839, 758 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.35 (dd, J = 8.6, 1.0 Hz, 1H), 7.72-7.66 (m, 1H), 7.44-7.35 (m, 2H), 7.35-7.25 (m, 2H), 7.13 (d, J = 2.0 Hz, 1H), 6.08 (d, J = 2.0 Hz, 1H), 4.90-4.81 (m, 1H), 2.72-2.58 (m, 1H), 2.48-2.37 (m, 2H), 2.10-1.96 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 174.1, 162.0 (d, J_{C-F} = 243.6 Hz), 138.4, 133.9, 133.7 (d, J_{C-F} = 3.2 Hz), 130.6 (d, J_{C-F} = 8.2 Hz), 129.9 ,127.0, 125.3 (q, J_{C-F} = 3.9 Hz), 123.9 (q, J_{C-F} = 32.0 Hz), 122.6 (q, J_{C-F} = 270.3 Hz), 121.9 (q, J_{C-F} = 4.1 Hz), 120.12, 115.6 (d, J_{C-F} = 21.3 Hz), 55.6, 30.8, 25.9 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -60.9, -113.6 ppm; HRMS (ESI) m/z calcd for $C_{19}H_{14}F_4NO^+$ $(M+H)^+$ 348.1006, found 348.0999.

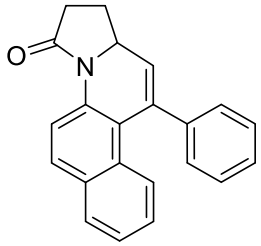
Methyl 1-oxo-5-phenyl-1,2,3,3a-tetrahydropyrrolo[1,2-*a*]quinoline-7-carboxylate (9n)



Colorless viscous oil (230 mg, 72%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3457, 1711, 1635, 1489, 1372, 1287, 1256, 1218, 1119, 1094, 844, 766, 702 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.29 (d, J = 8.8 Hz, 1H), 7.91 (dd, J = 8.4, 2.0 Hz, 1H), 7.57 (d, J = 2.0 Hz, 1H), 7.52-7.40 (m, 3H), 7.37-7.31 (m, 2H), 6.01 (d, J = 1.6 Hz, 1H), 4.89-4.80 (m, 1H), 3.76 (s, 3H), 2.71-2.58 (m, 1H), 2.49-2.36 (m, 2H), 2.10-1.95 (m, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 174.0, 165.5, 139.2, 137.8, 135.3, 129.4, 128.7, 128.5, 128.1, 126.6, 126.5, 124.5, 119.4, 55.7, 52.0, 30.9, 26.0 ppm; HRMS (ESI) m/z calcd for $C_{20}H_{17}NO_3Na^+$ $(M+Na)^+$ 342.1101, found

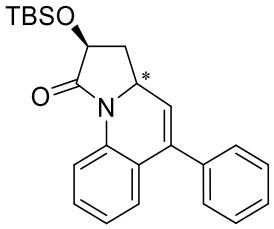
342.1101.

11-Phenyl-1,12a-dihydrobenzo[*f*]pyrrolo[1,2-*a*]quinolin-3(2*H*)-one (9o)



Colorless viscous oil (205 mg, 66%, petroleum ether/diethyl ether = 1:1 v/v). IR (film): ν_{max} 3440, 1769, 1696, 1506, 1444, 1357, 1235, 1120, 1024, 993, 815, 748, 104, 635 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 8.48 (d, J = 9.2 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.92 (d, J = 8.0 Hz, 1H), 7.48-7.32 (m, 5H), 7.17 (s, 1H), 7.14-7.06 (m, 2H), 6.13 (d, J = 2.4 Hz, 1H), 4.68-4.59 (m, 1H), 2.79-2.66 (m, 1H), 2.56-2.46 (m, 1H), 2.25-2.11 (m, 1H), 1.36-1.21 (m, 1H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-d_6) δ 173.2, 141.4, 136.5, 135.0, 131.0, 130.7, 129.2, 129.1, 128.6, 128.4, 127.3, 127.1, 126.5, 125.0, 124.3, 121.4, 118.9, 54.6, 31.1, 24.1 ppm; HRMS (ESI) m/z calcd for $C_{22}H_{17}NONa^+$ $(M+Na)^+$ 334.1202, found 334.1200.

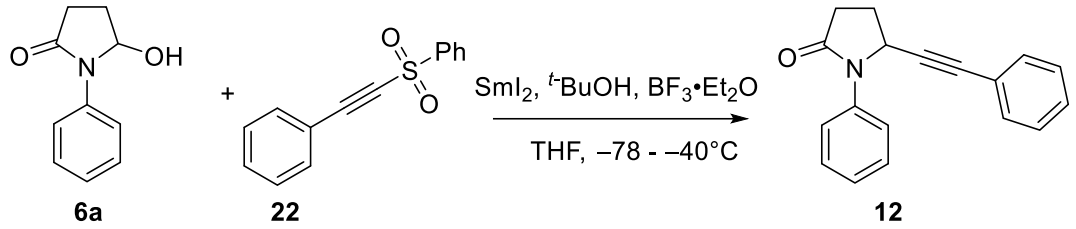
(*S*)-2-((*tert*-Butyldimethylsilyl)oxy)-5-phenyl-2,3-dihydro-1*H*-3a-pyrrolo[1,2-*a*]quinolin-1-one (mixture 11)



White solid (235 mg, 60%, petroleum ether/EtOAc = 20:1 v/v). IR (film, major): ν_{max} 2926, 1706, 1597, 1491, 1385, 1270, 1123, 749, 699 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$, major peak) δ 8.21-8.15 (m, 1H), 7.40-7.35 (m, 3H), 7.32-7.23 (m, 4H), 7.04-7.00 (m, 2H), 5.72 (d, J = 1.6 Hz, 1H), 4.60 (ddd, J = 10.4, 6.2, 1.8 Hz, 1H), 4.47 (dd, J = 10.4, 8.0 Hz, 1H), 2.82-2.72 (m, 1H), 2.12-2.01 (m, 1H), 0.95 (s, 9H), 0.24 (s, 3H), 0.20 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$, major peak) δ 172.7, 138.7, 137.7, 134.6, 131.8, 128.9, 128.7, 128.5, 128.0, 127.0, 126.7, 125.5, 124.4, 120.4, 70.3, 51.5,

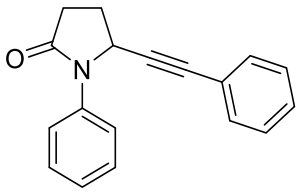
37.4, 25.9, 18.4, -4.2, -4.9 ppm; HRMS (ESI) m/z calcd for $C_{24}H_{30}NO_2Si^+$ $(M+H)^+$ 392.2041, found 392.2033.

2.4 General Procedure for Synthesis of 12[1b]



A stirred solution of *N, O*-acetal **6a** (100 mg, 0.56 mmol, 1.0 equiv.) and alkynyl sulfones **22**[3] (1.2 equiv.) in anhydrous THF (5.6 mL) was charged with the above freshly prepared SmI_2 reagent[4] (4.0 equiv., 0.2 M in THF) dropwise at −78 °C under argon atmosphere. After the mixture was stirred for 5 min, $BF_3 \cdot Et_2O$ (3.0 equiv.) and *t*-BuOH (4.0 equiv.) were sequentially added dropwise at −78 °C, then the mixture was allowed to warm to −40 °C and stirred for 1 h. Then saturated aqueous NH_4Cl solution (10 mL) was added and the resulting mixture was extracted with EtOAc (3 × 15 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to afford colorless oil **12** (68mg, 46%).

1-Phenyl-5-(phenylethynyl)pyrrolidin-2-one (12)

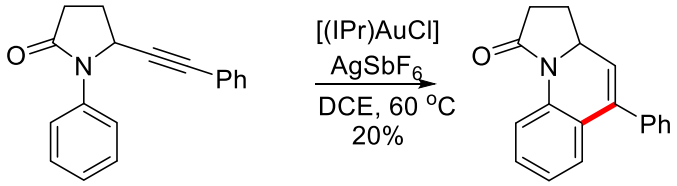


Colorless oil(68 mg, 46%, petroleum ether/EtOAc = 3:1 v/v); IR (film): ν_{max} 3422, 2255, 2128, 1651, 1384, 1026, 1002, 826, 764, 631 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 7.63(d, J = 8.0 Hz, 2H), 7.42(t, J = 7.8 Hz, 2H), 7.39-7.30(m, 5H), 7.20(t, J = 7.4 Hz, 1H), 5.33(dd, J = 7.4, 4.6 Hz, 1H), 2.77-2.62(m, 1H), 2.61-2.50(m, 2H), 2.26-

2.15(m,1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 173.0, 138.0, 131.4, 128.9, 128.7, 128.6, 125.0, 122.0, 121.6, 88.2, 84.3, 50.9, 30.9, 26.2 ppm; HRMS (ESI) m/z calcd for $C_{18}H_{15}NO^+$ $(M+H)^+$ 262.1227, found 262.1218.

2.5 General Procedure for control experiments

Under nitrogen atmosphere, compound **12** (0.2 mmol, 1.0 equiv), [(IPr)AuCl] (0.02 mol, 0.1 equiv), and $AgSbF_6$ (0.02 mol, 0.1 equiv) were dissolved in dry dichloroethane (4 mL, 0.05 M). The reaction was stirred at 70 °C in an oil bath for 8 hours. After the reaction was completed, the reaction system was cooled to room temperature, filtered and concentrated. The resulted crude product was purified by flash column chromatography on silica gel.



12 **8a**

3. References

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2. (a) B. Ali, J. Zukerman-Schpector, F. P. Ferreira, A. Shamim, D. C. Pimenta and H. A. Stefani, *Tetrahedron Lett.*, 2015, **56**, 1153-1158. (b) R. Fu, Y. Du, Z.-Y. Li, W.-X. Xu and P.-Q. Huang, *Tetrahedron*, 2009, **65**, 9765-9771.

3. D. Qi, W. Dong, Z. Peng, Y. Zhang and D.An, *Tetrahedron*, 2019, **75**, 130427

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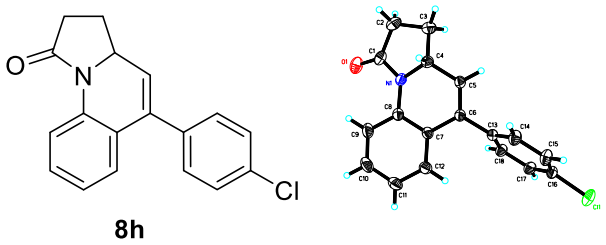
4. X-Ray Structure for compounds 8h and (3*S*,5*S*)-11

(1) ORTEP drawing of the X-ray crystallographic structure of compound 8h (50%

probability ellipsoids):

The single crystal of compound **8h** was prepared from its solution in petroleum ether/ethylacetate (5:1) by slow evaporation of the solvent (**Table S1**). Crystal structure information has been deposited at the Cambridge Crystallographic Data Centre, CCDC: 2154209.



8h

Table S1. Crystal data and structure refinement for **8h**.

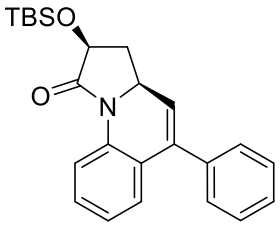
Identification code	8h	
Empirical formula	C18 H14 Cl N O	
Formula weight	295.75	
Temperature	293(2) K	
Wavelength	0.71073 Å	
Crystal system	Monoclinic	
Space group	P 21/c	
Unit cell dimensions	a = 9.8880(3) Å	α= 90°.
	b = 11.0027(3) Å	β= 101.8440(10)°.
	c = 13.3415(4) Å	γ = 90°.
Volume	1420.58(7) $Å^3$	
Z	4	
Density (calculated)	1.383 Mg/m^3	
Absorption coefficient	0.266 mm^{-1}	
F(000)	616	
Crystal size	0.180 x 0.150 x 0.120 mm^3	
Theta range for data collection	2.803 to 25.995°.	
Index ranges	-12<=h<=11, -13<=k<=13, -16<=l<=16	
Reflections collected	23212	
Independent reflections	2776 [R(int) = 0.0279]	
Completeness to theta = 25.242°	99.1 %	

Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7456 and 0.6850
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	2776 / 0 / 191
Goodness-of-fit on F^2	1.038
Final R indices [I>2sigma(I)]	R1 = 0.0351, wR2 = 0.0878
R indices (all data)	R1 = 0.0402, wR2 = 0.0926
Extinction coefficient	0.014(5)
Largest diff. peak and hole	0.184 and -0.279 e.$Å^{-3}$

For detailed crystallographic data, please refer to the Cambridge Crystallographic Data Centre at http://ccdc.cam.ac.uk.

(2) ORTEP drawing of the X-ray crystallographic structure of compound (3*S*,5*S*)-11 (50% probability ellipsoids)

The single crystal of compound (3*S*,5*S*)-**11** was prepared from its solution in petroleum ether/ethylacetate (30:1) by slow evaporation of the solvent (**Table S2**). Crystal structure information has been deposited at the Cambridge Crystallographic Data Centre, CCDC: 2154208.



(3*S*,5*S*)-**11**

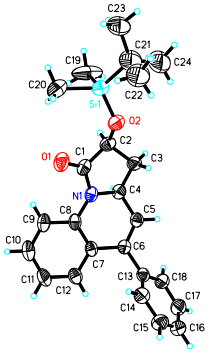


Table S2. Crystal data and structure refinement for (3*S*,5*S*)-**11**.

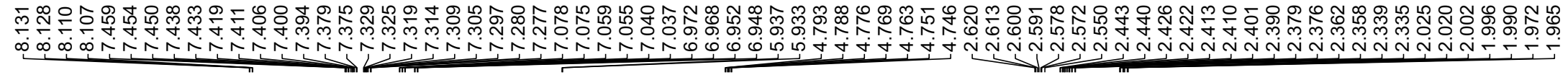
Identification code	(3*S*,5*S*)-11
Empirical formula	C24 H29 N O2 Si
Formula weight	391.57

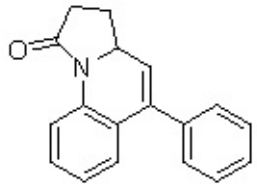
Temperature	273(2) K	
Wavelength	1.54178 Å	
Crystal system	Orthorhombic	
Space group	P 21 21 21	
Unit cell dimensions	a = 6.5493(2) Å	α= 90°.
	b = 10.4222(4) Å	β= 90°.
	c = 33.5349(11) Å	γ = 90°.
Volume	2289.03(14) $Å^3$	
Z	4	
Density (calculated)	1.136 Mg/m^3	
Absorption coefficient	1.036 mm^{-1}	
F(000)	840	
Crystal size	0.200 x 0.150 x 0.100 mm^3	
Theta range for data collection	4.442 to 67.494°.	
Index ranges	-7<=h<=7, -12<=k<=11, -36<=l<=40	
Reflections collected	11754	
Independent reflections	4080 [R(int) = 0.0503]	
Completeness to theta = 67.679°	98.8 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	0.7533 and 0.4472	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	4080 / 128 / 317	
Goodness-of-fit on F^2	1.038	
Final R indices [I>2sigma(I)]	R1 = 0.0664, wR2 = 0.1805	
R indices (all data)	R1 = 0.0836, wR2 = 0.2008	
Absolute structure parameter	0.03(2)	
Extinction coefficient	n/a	
Largest diff. peak and hole	0.275 and -0.310 $e.Å^{-3}$	

For detailed crystallographic data, please refer to the Cambridge Crystallographic Data Centre at http://ccdc.cam.ac.uk.

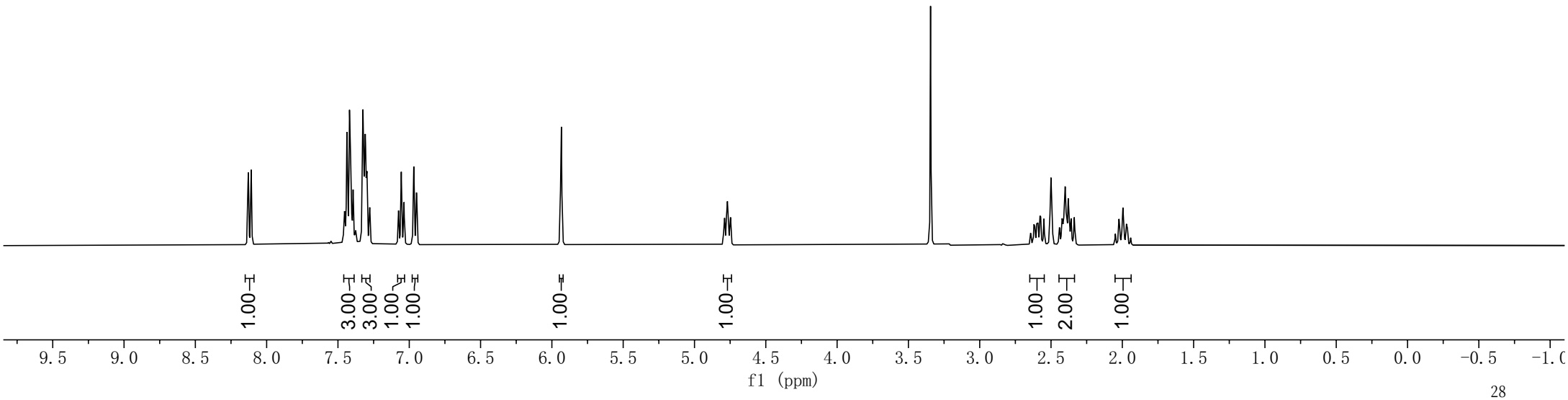
5. NMR Spectra of New Compounds

NMR Spectra of compound **8a**

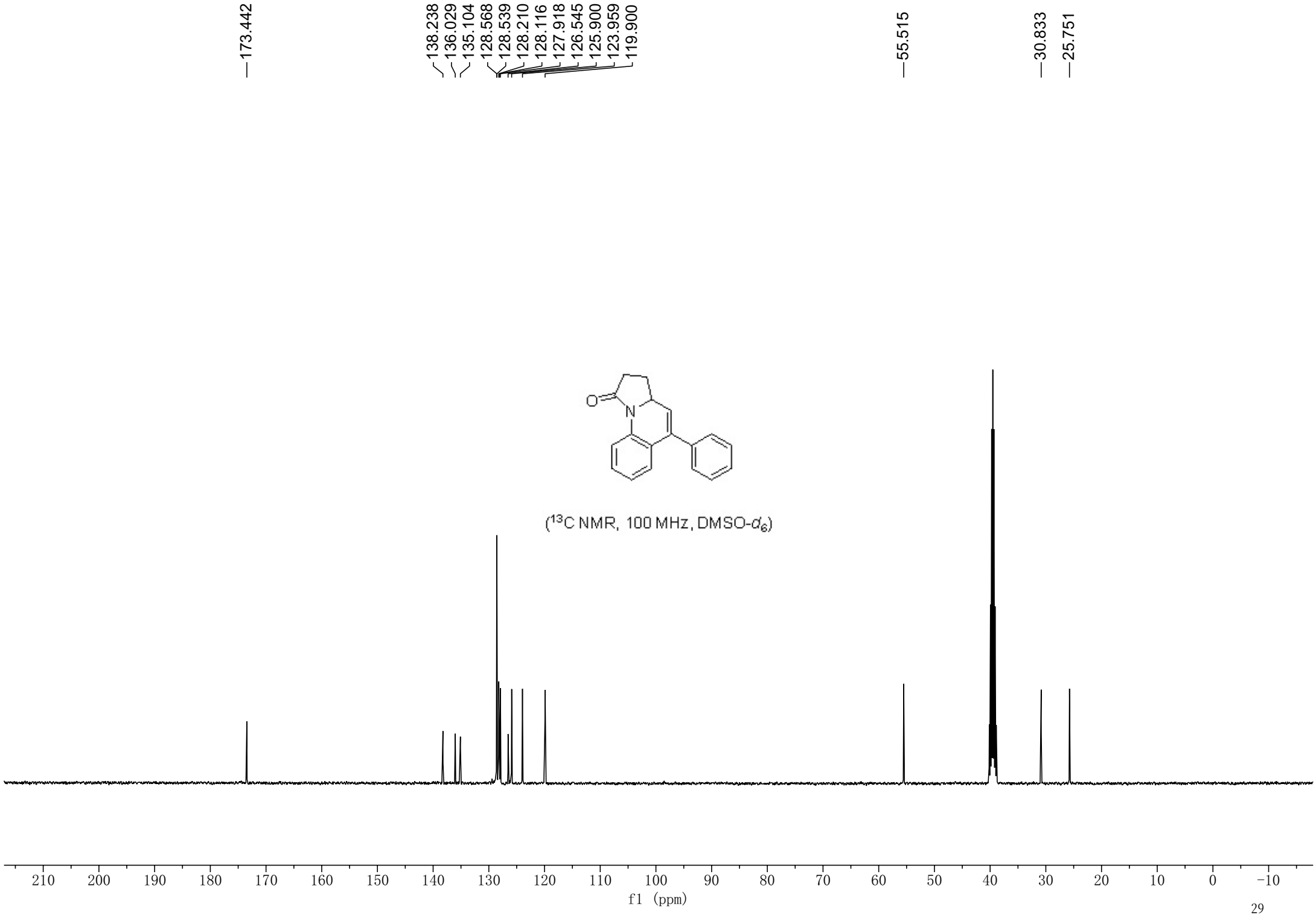




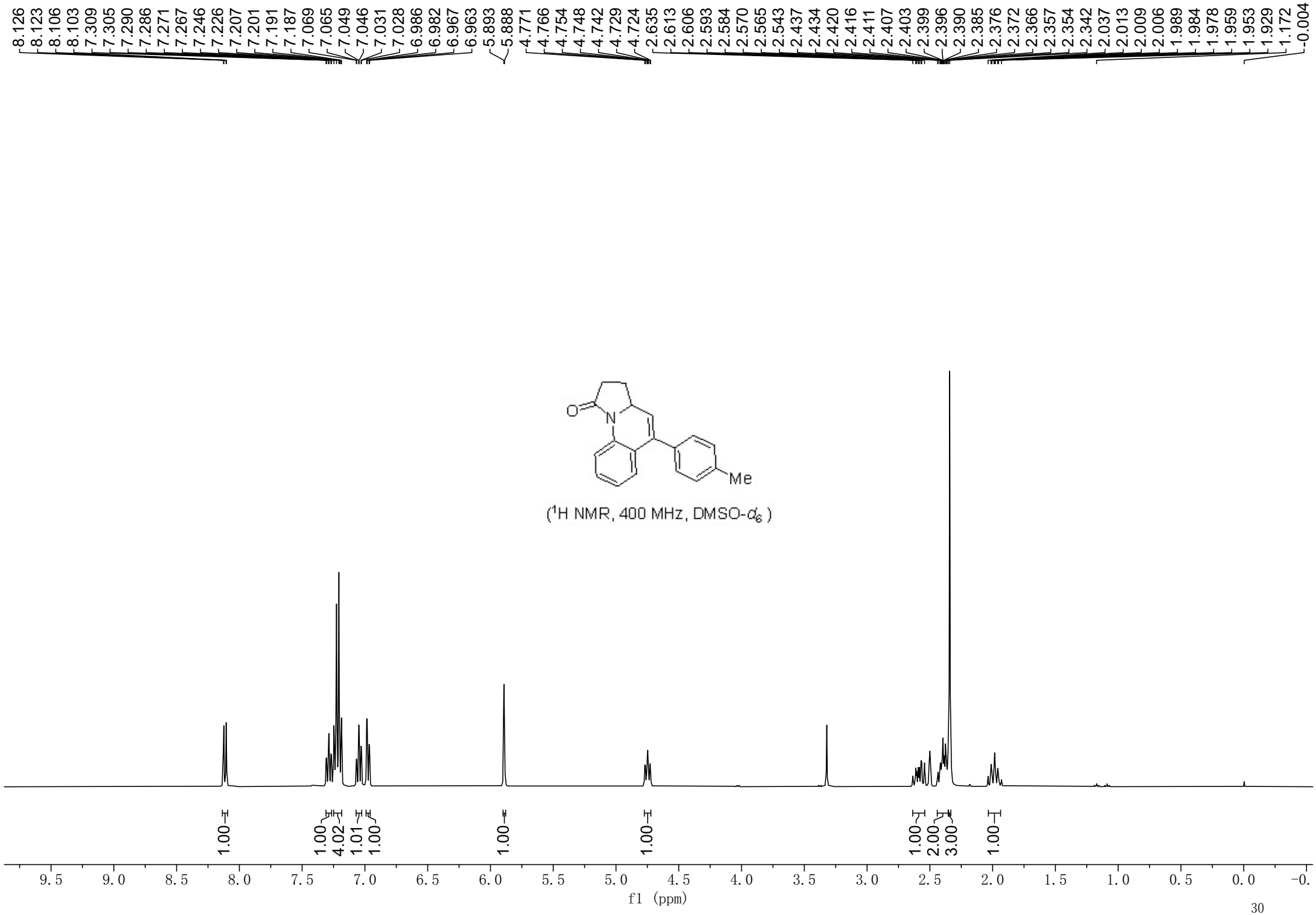
(^{1}H NMR, 400 MHz, DMSO-d_6)



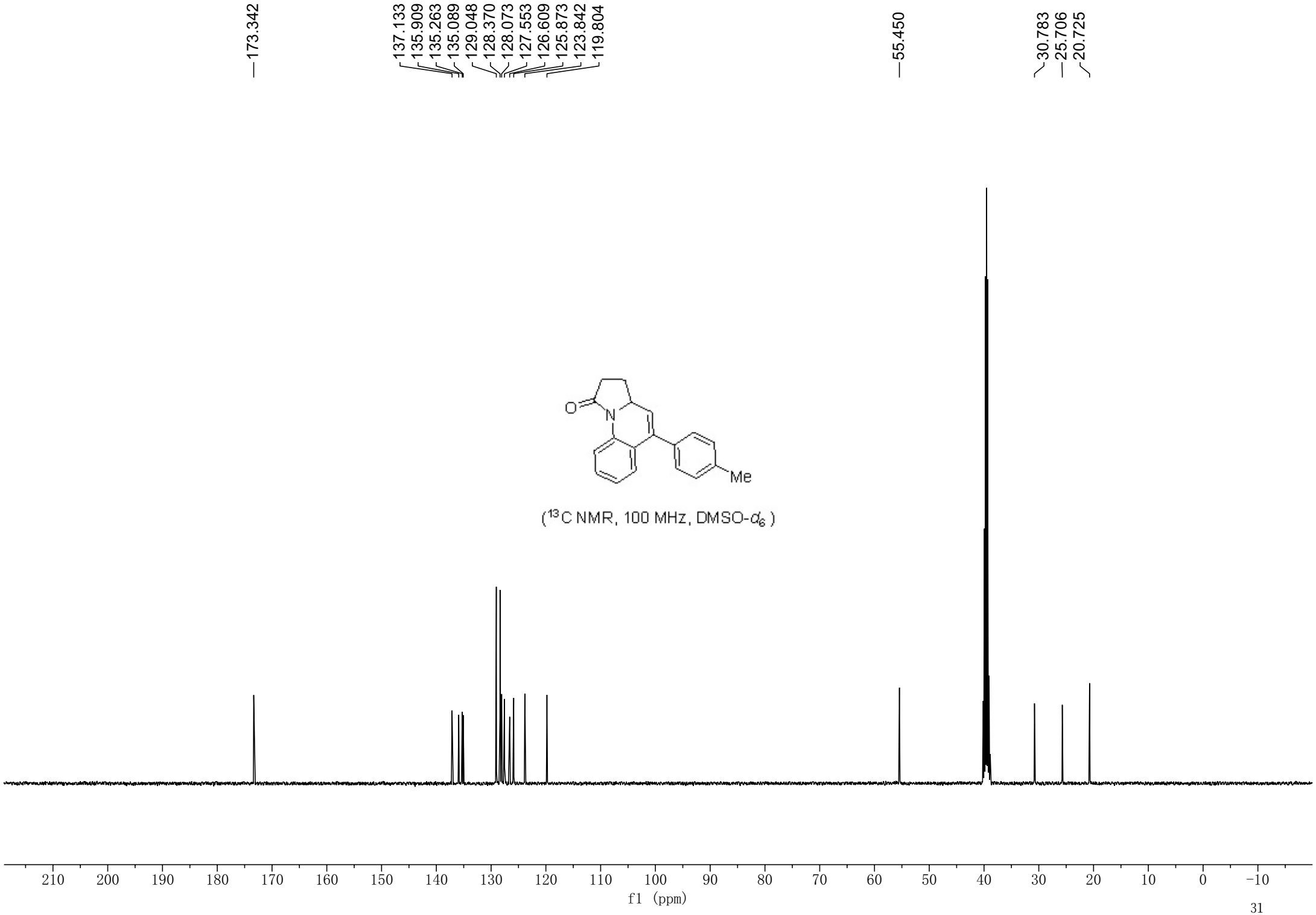
NMR Spectra of compound **8a**



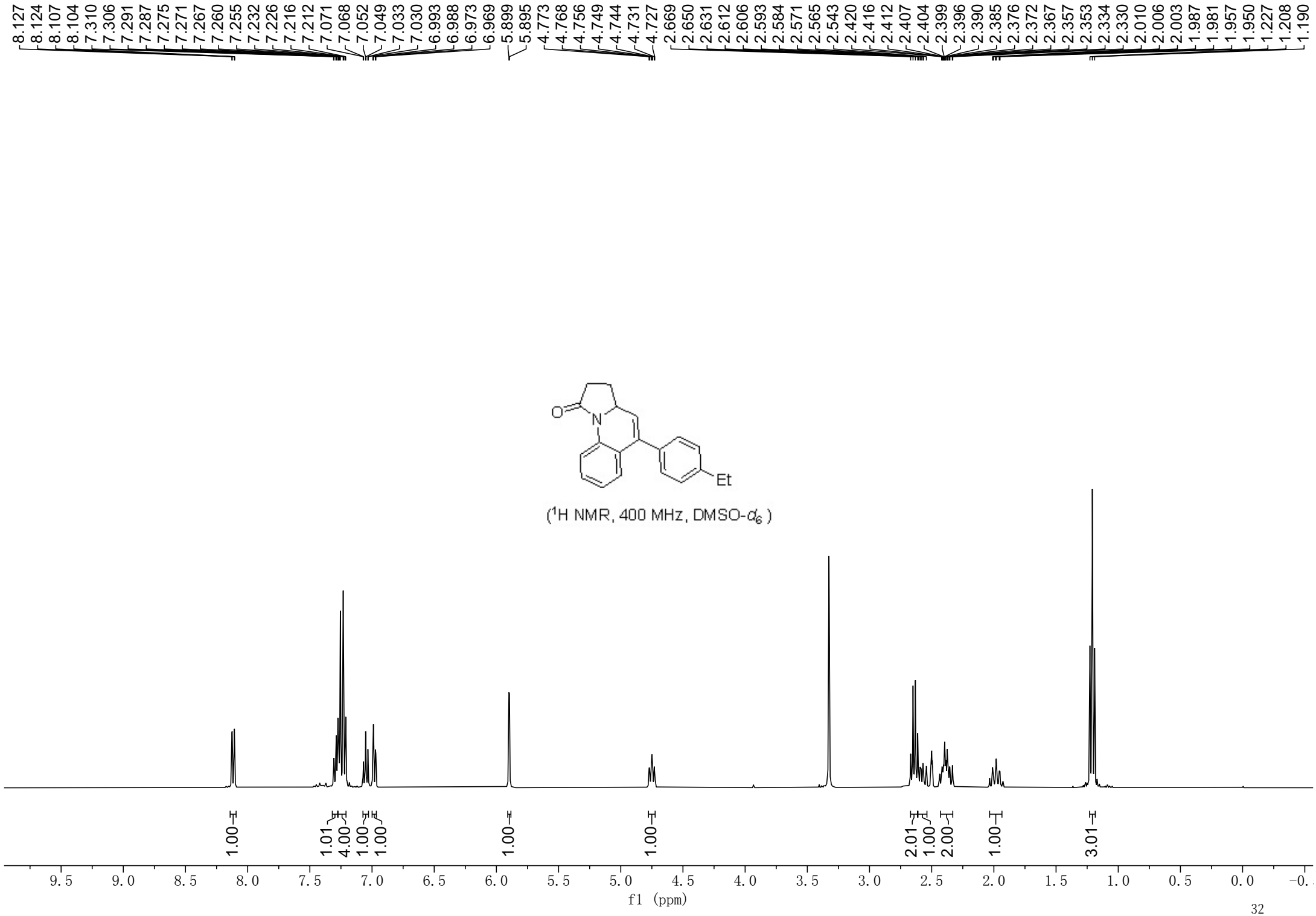
NMR Spectra of compound **8b**



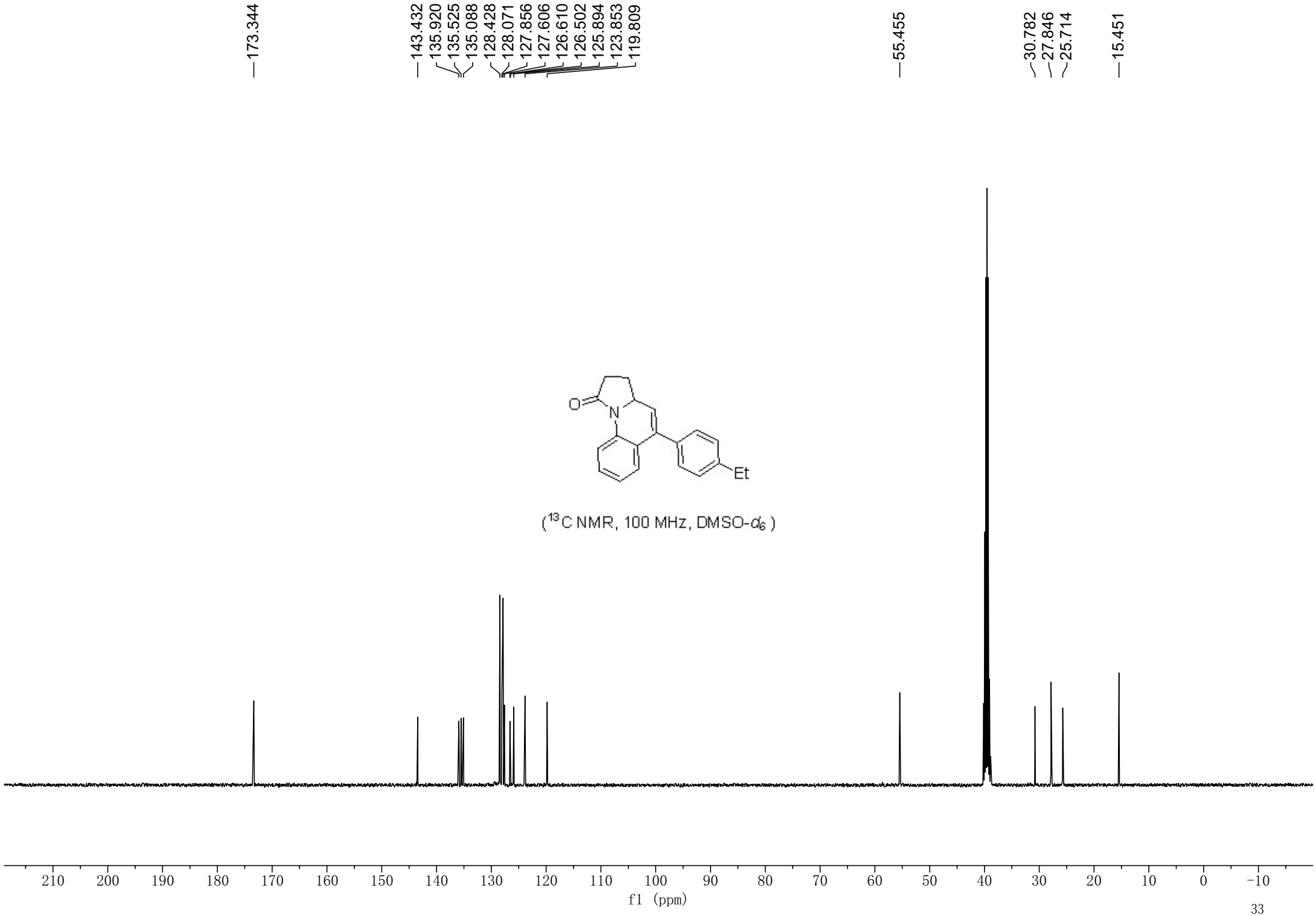
NMR Spectra of compound **8b**



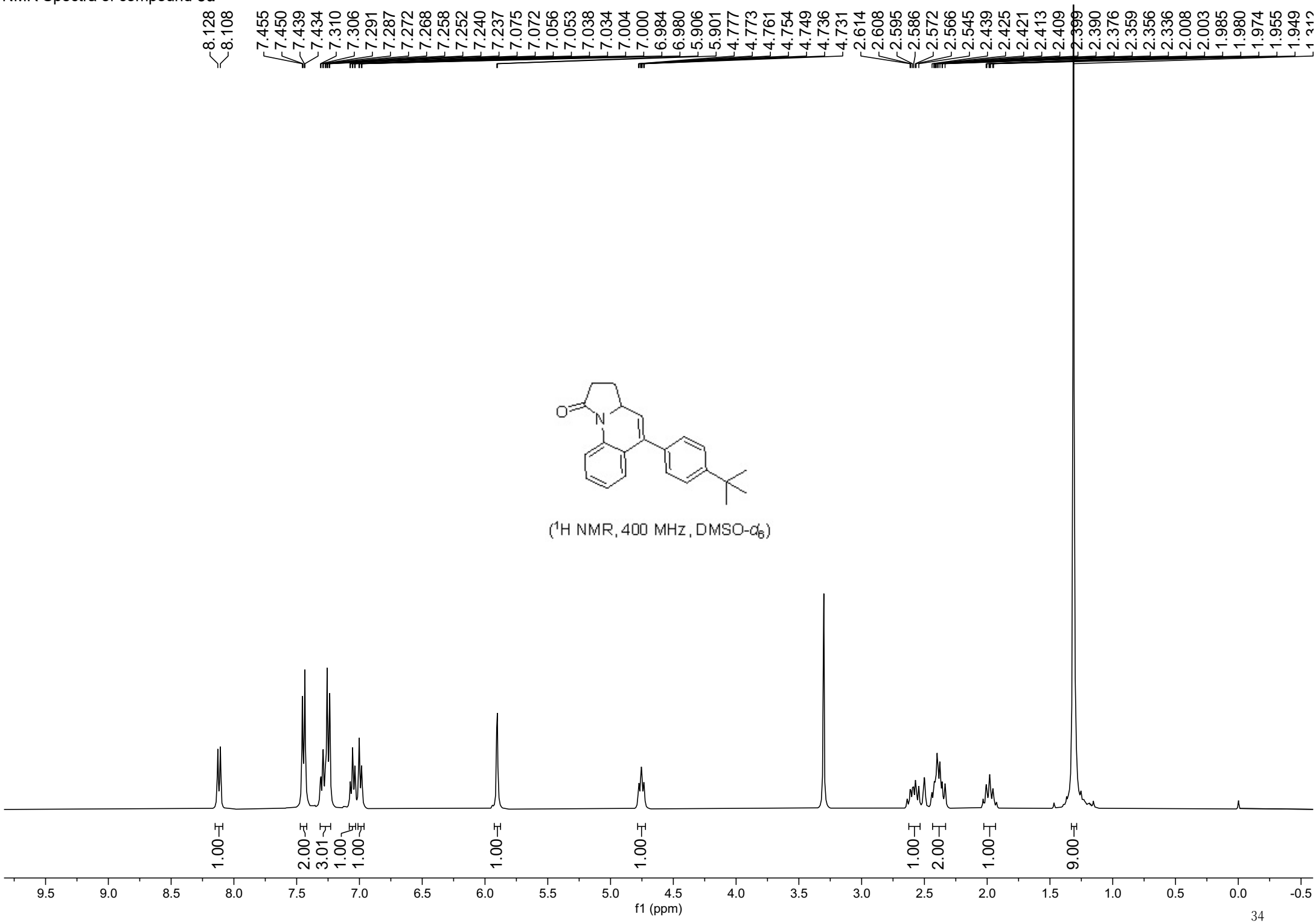
NMR Spectra of compound **8c**



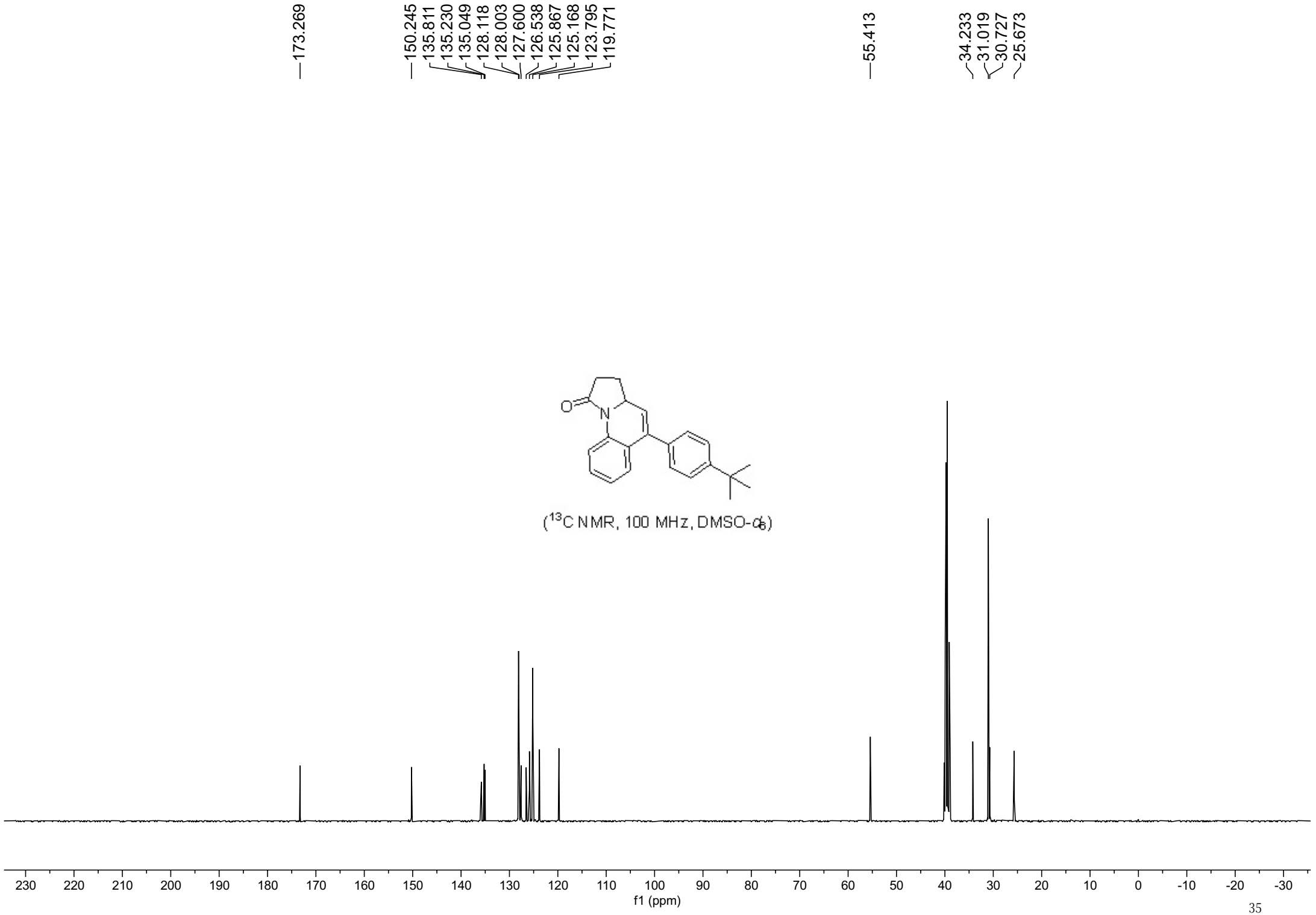
NMR Spectra of compound **8c**



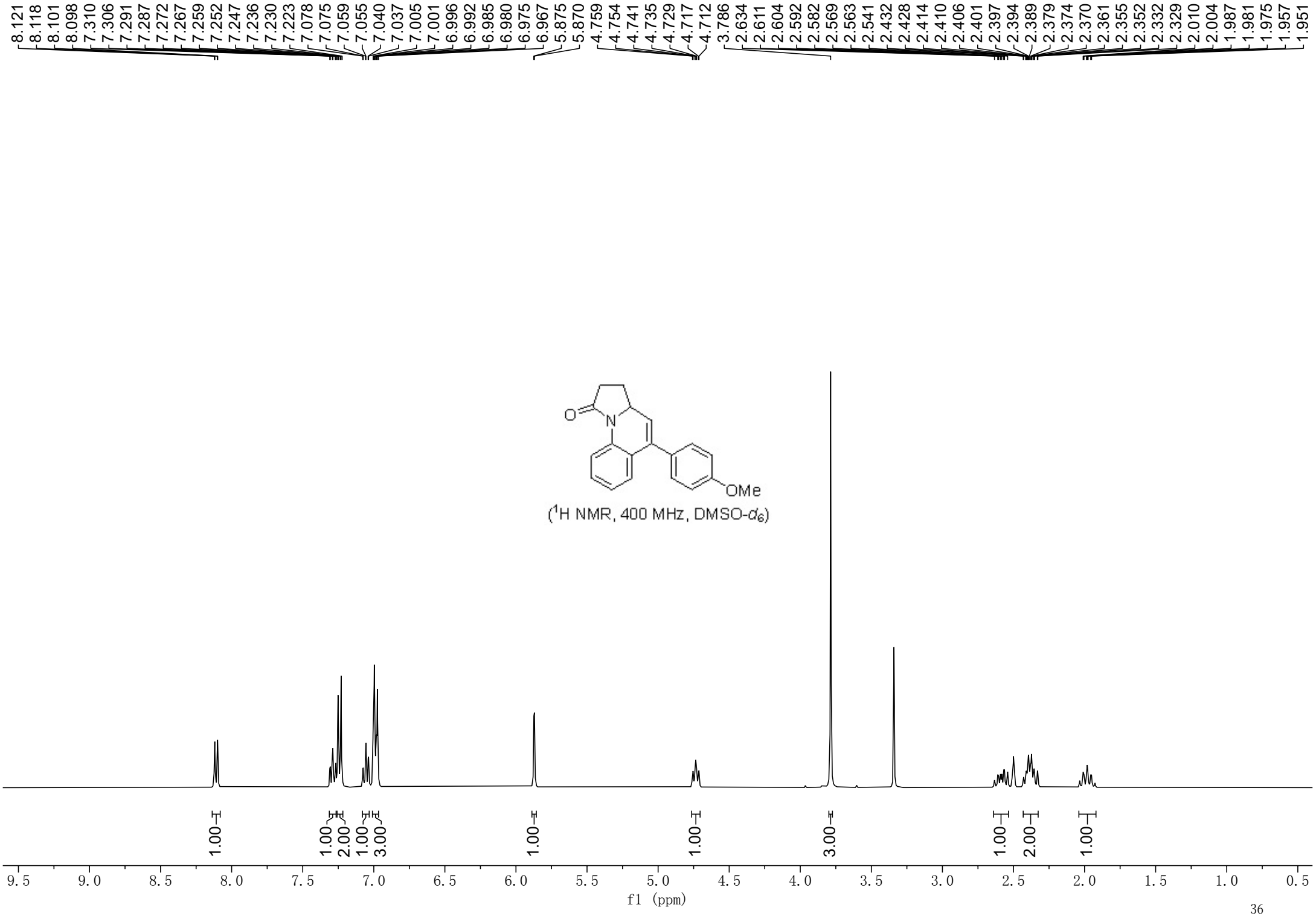
NMR Spectra of compound **8d**



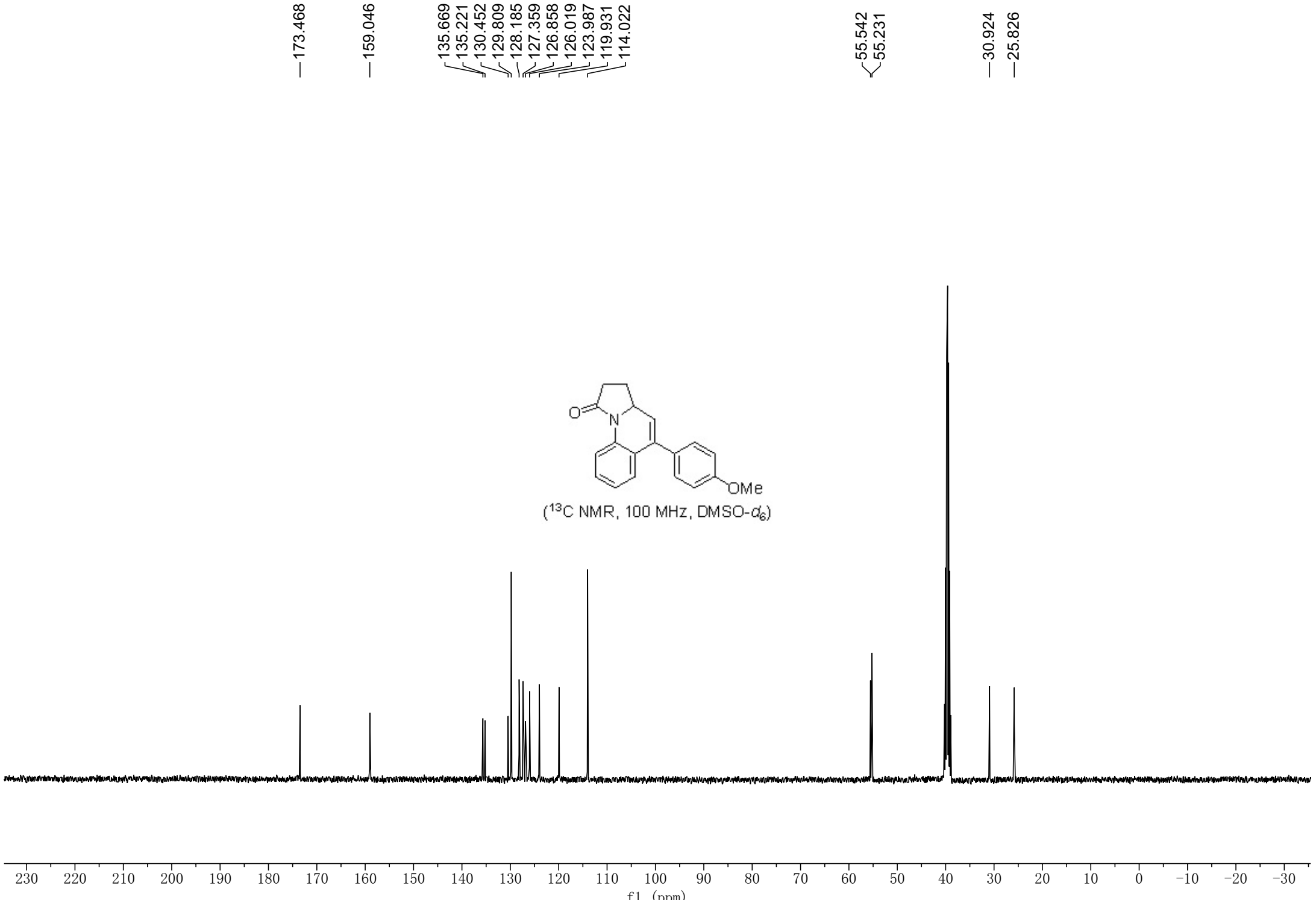
NMR Spectra of compound **8d**



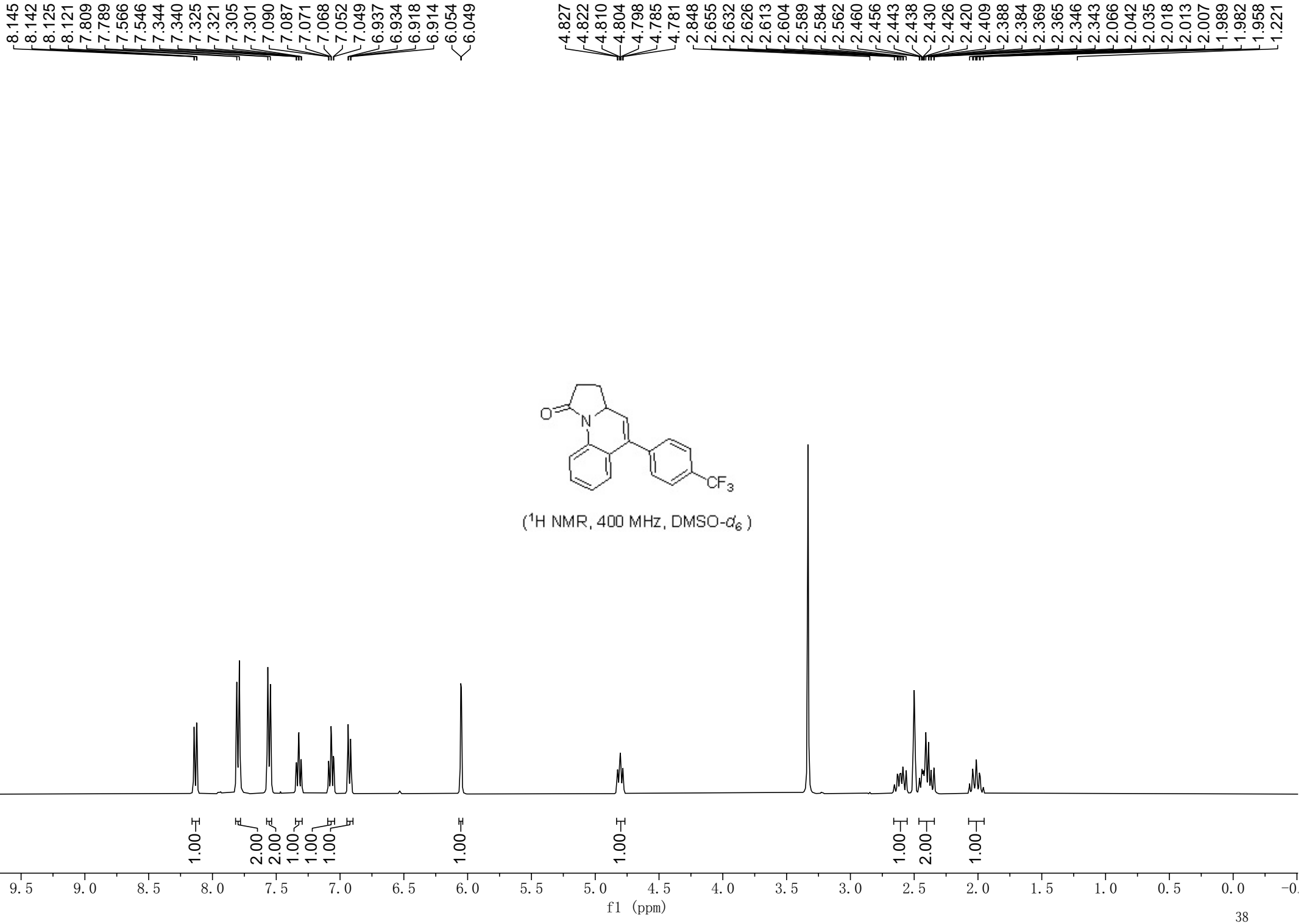
NMR Spectra of compound **8e**



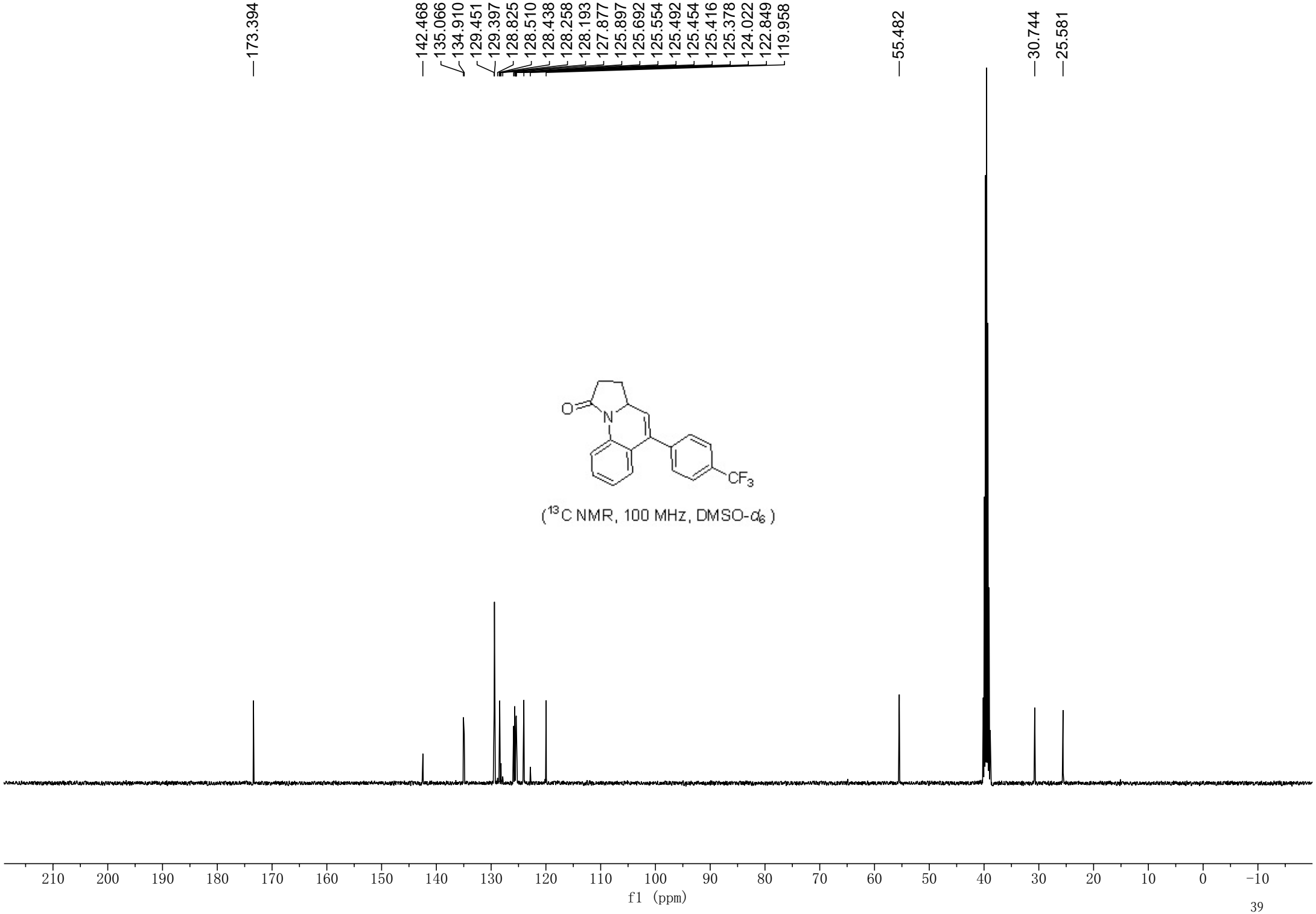
NMR Spectra of compound **8e**



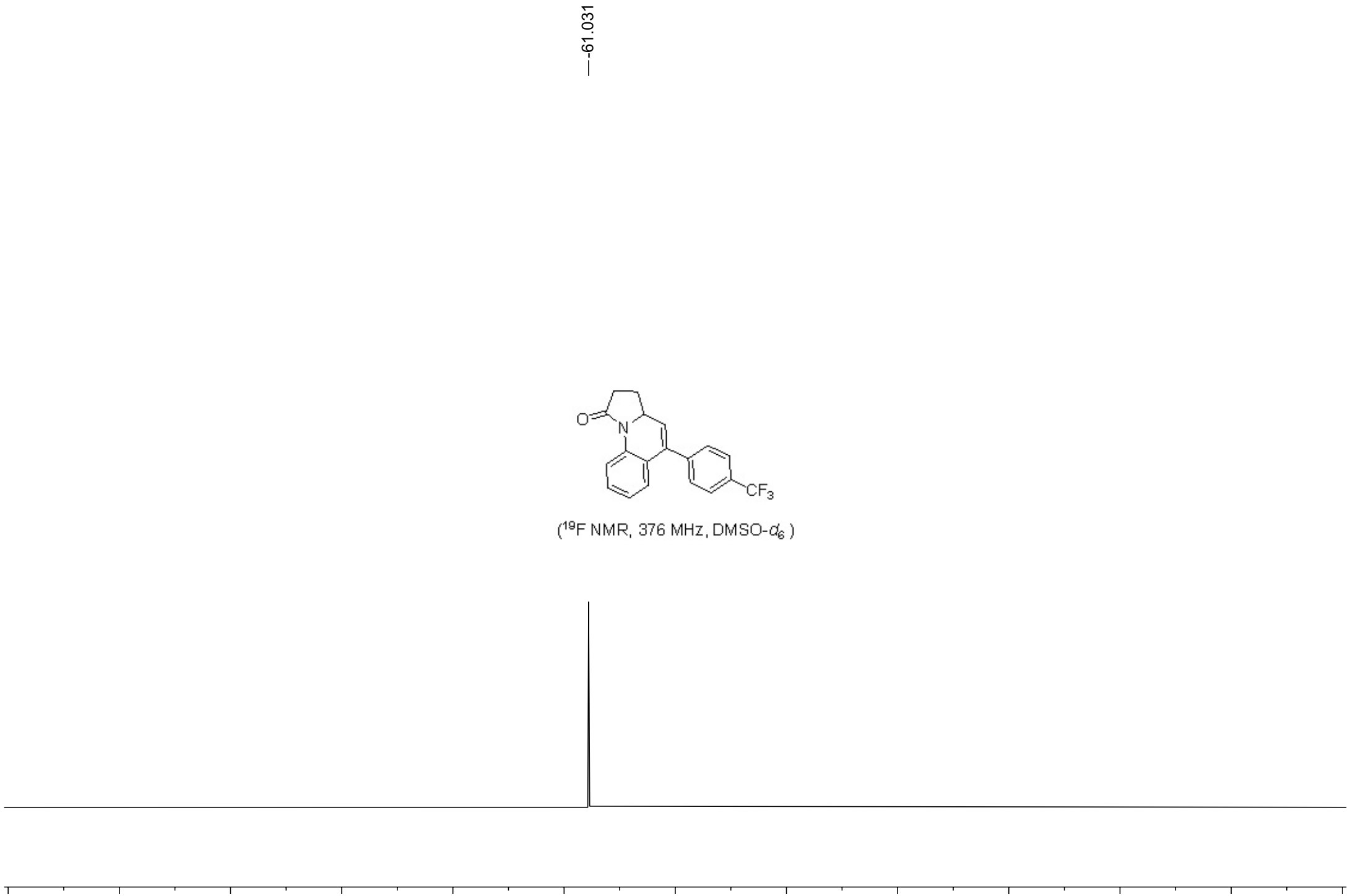
NMR Spectra of compound **8f**



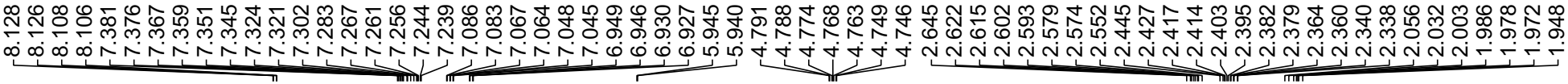
NMR Spectra of compound **8f**

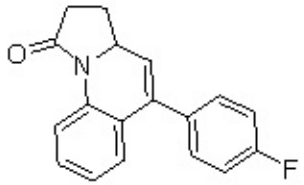


NMR Spectra of compound **8f**



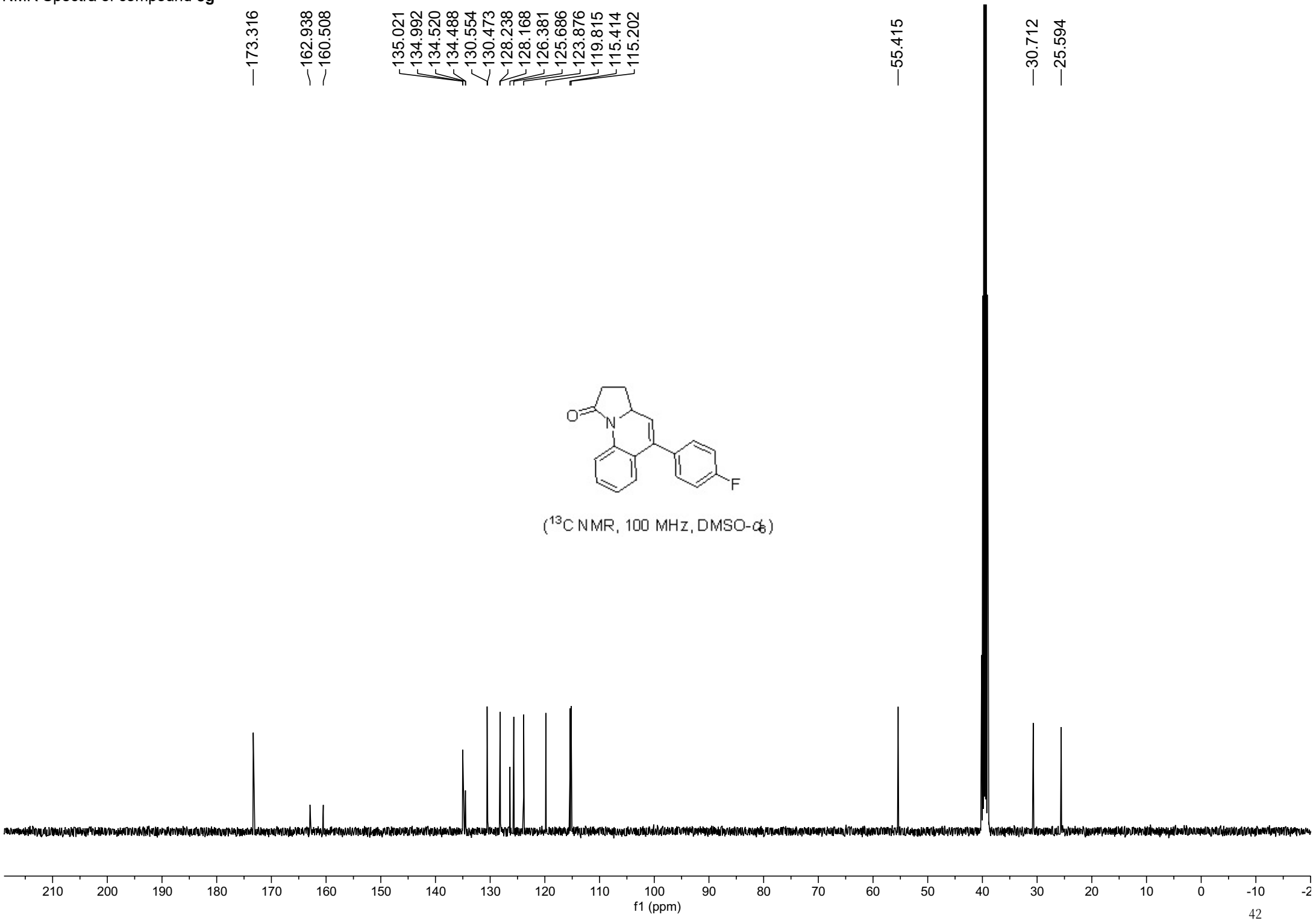
NMR Spectra of compound **8g**



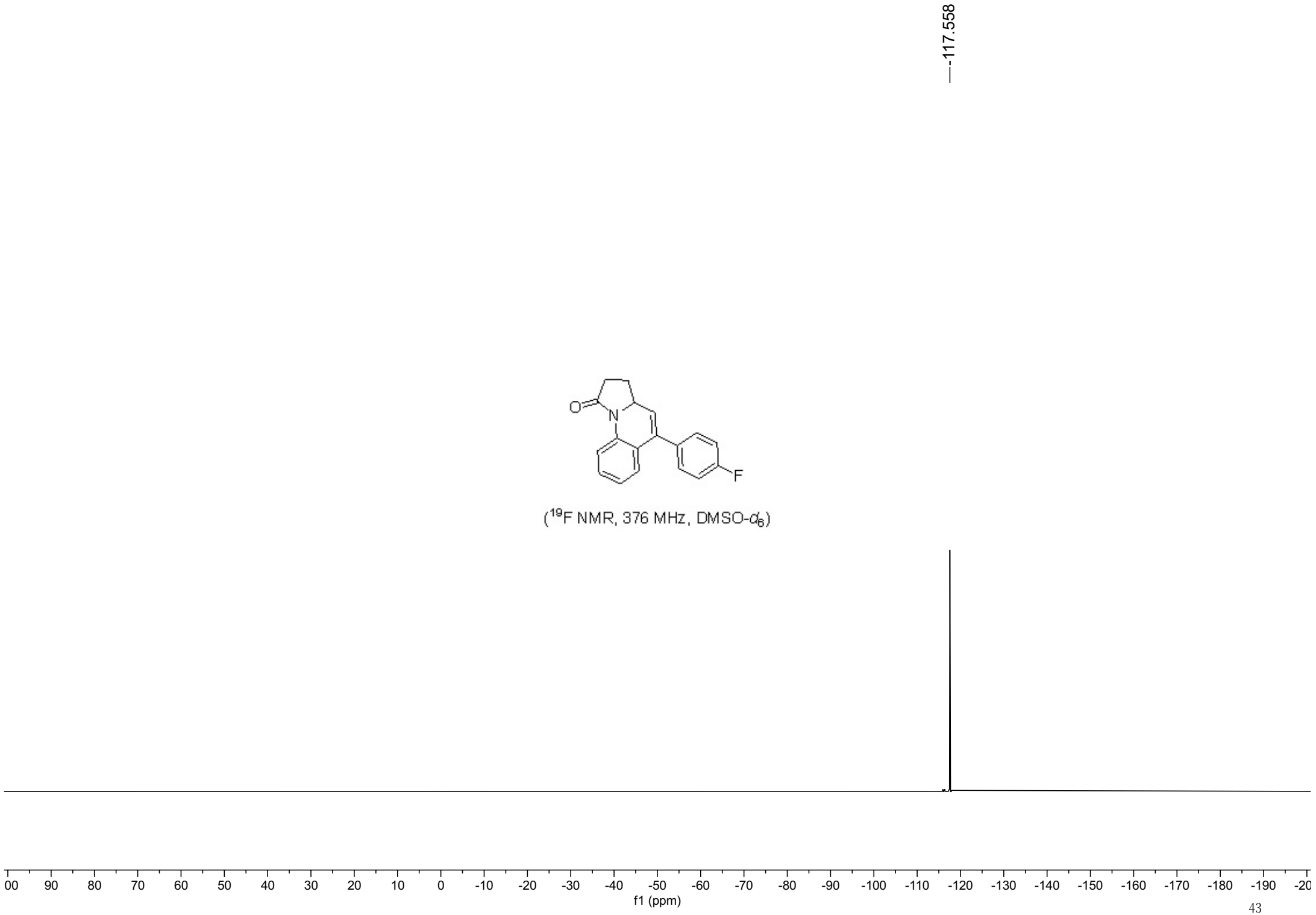


(^{1}H NMR, 400 MHz, DMSO-d_6)

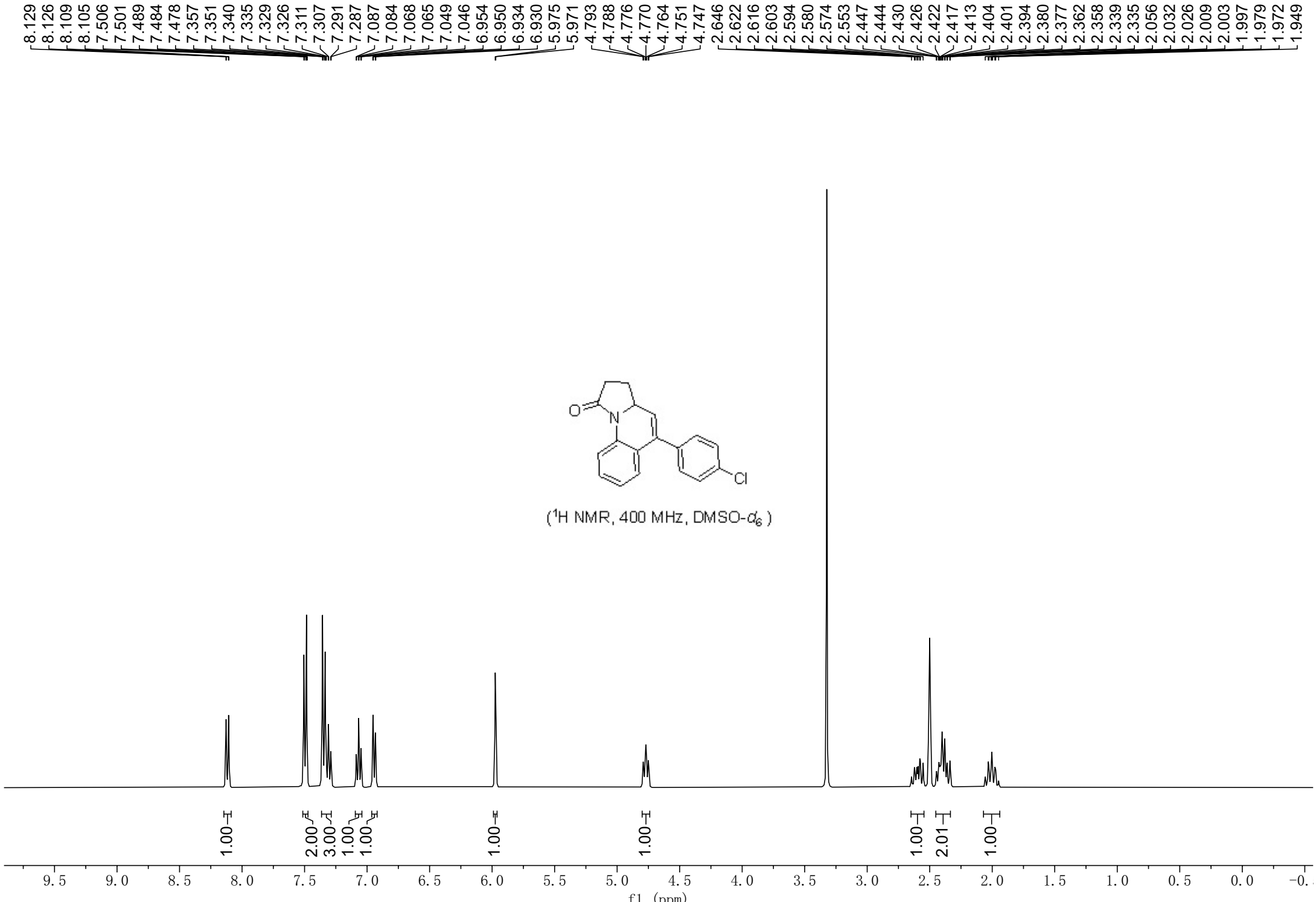
NMR Spectra of compound **8g**



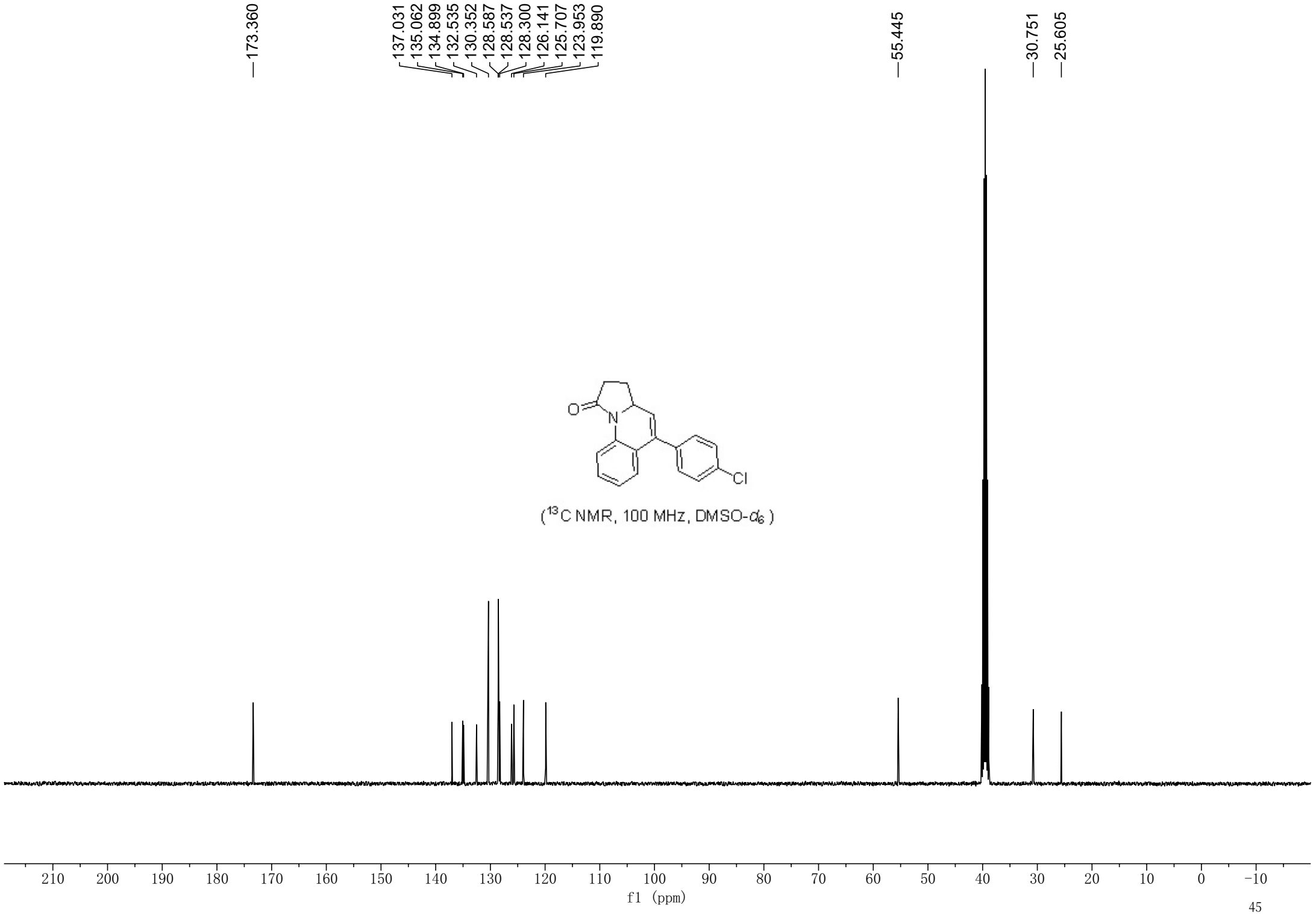
NMR Spectra of compound **8g**



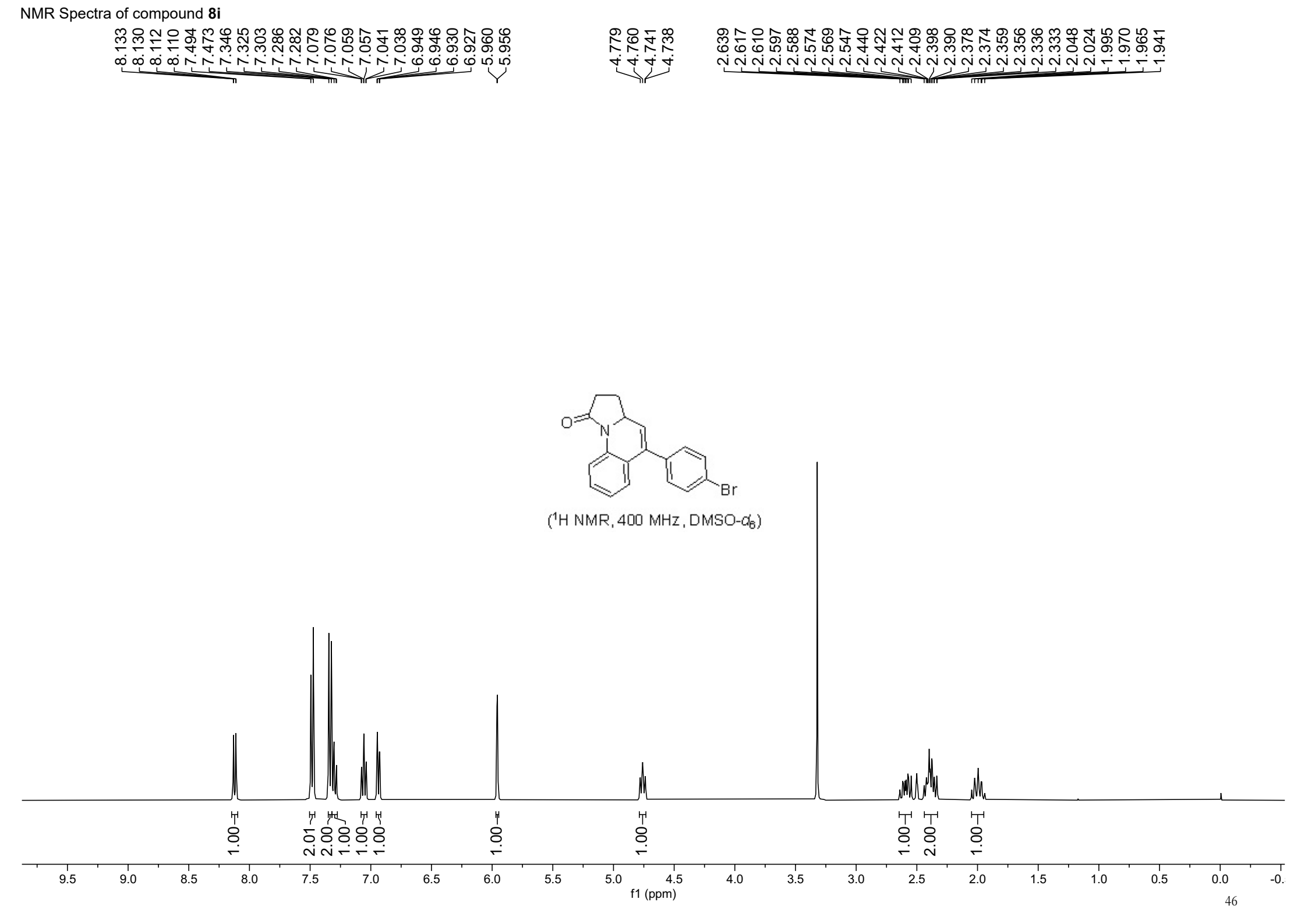
NMR Spectra of compound **8h**



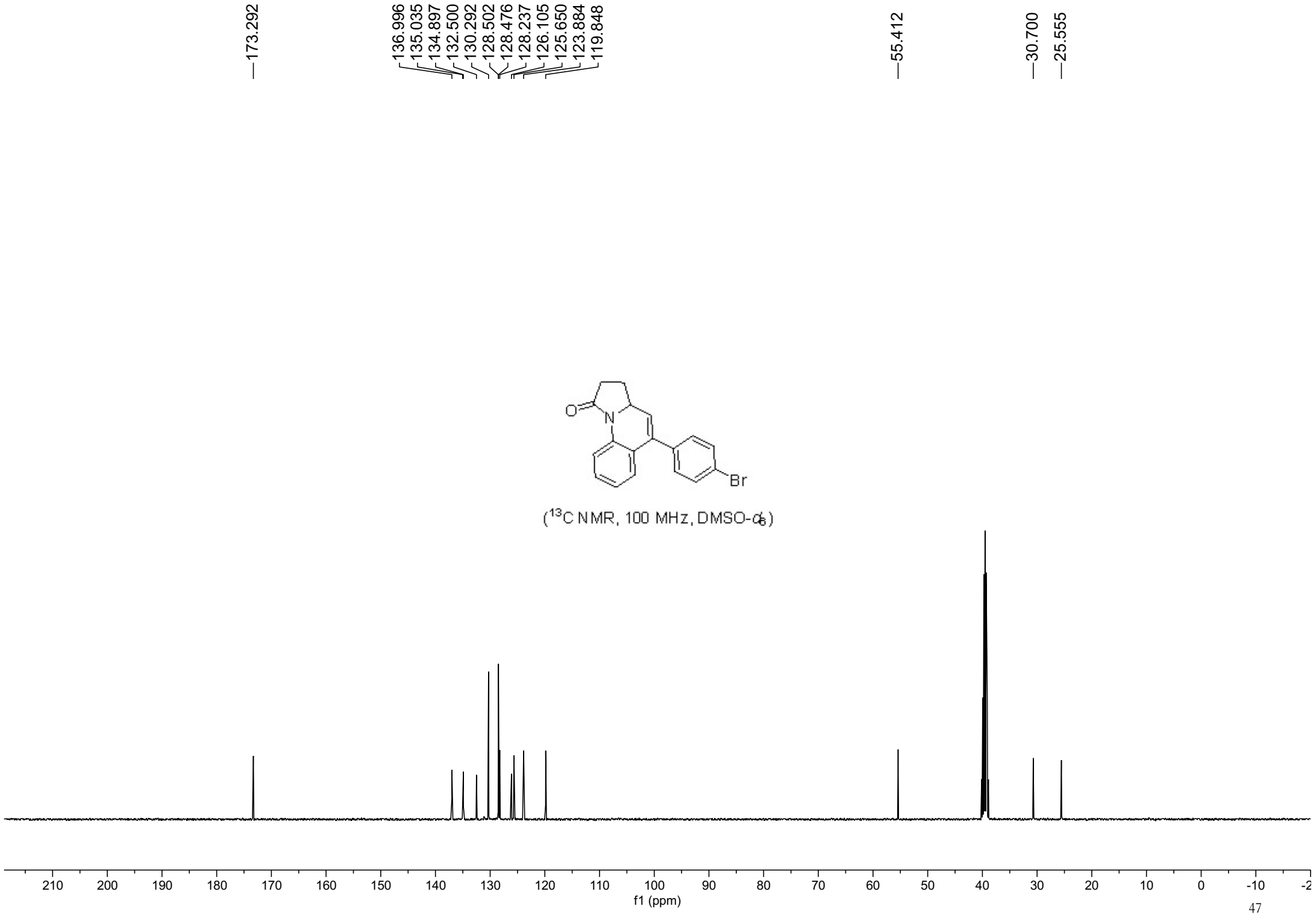
NMR Spectra of compound **8h**



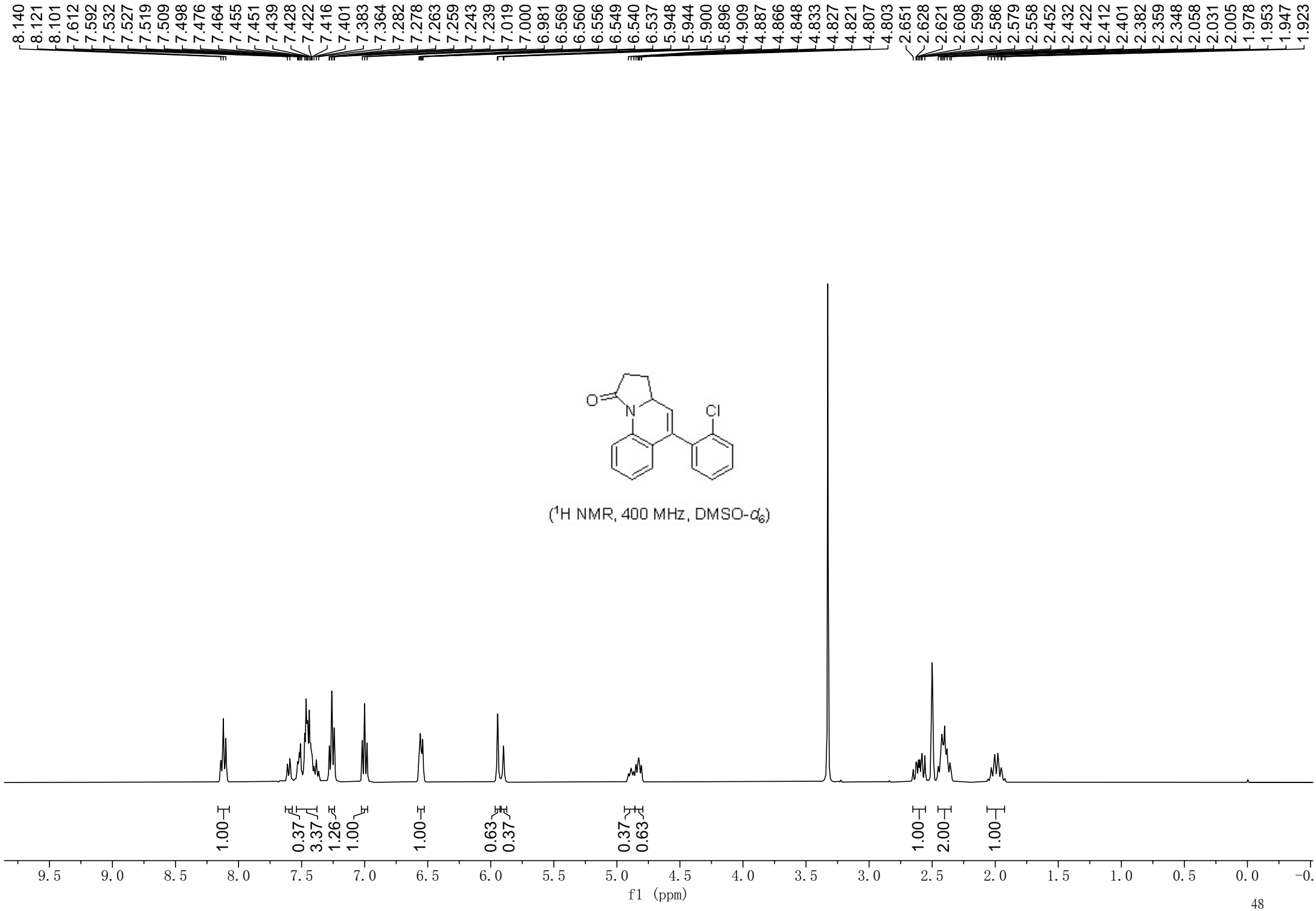
NMR Spectra of compound **8i**



NMR Spectra of compound **8i**



NMR Spectra of compound **8j**



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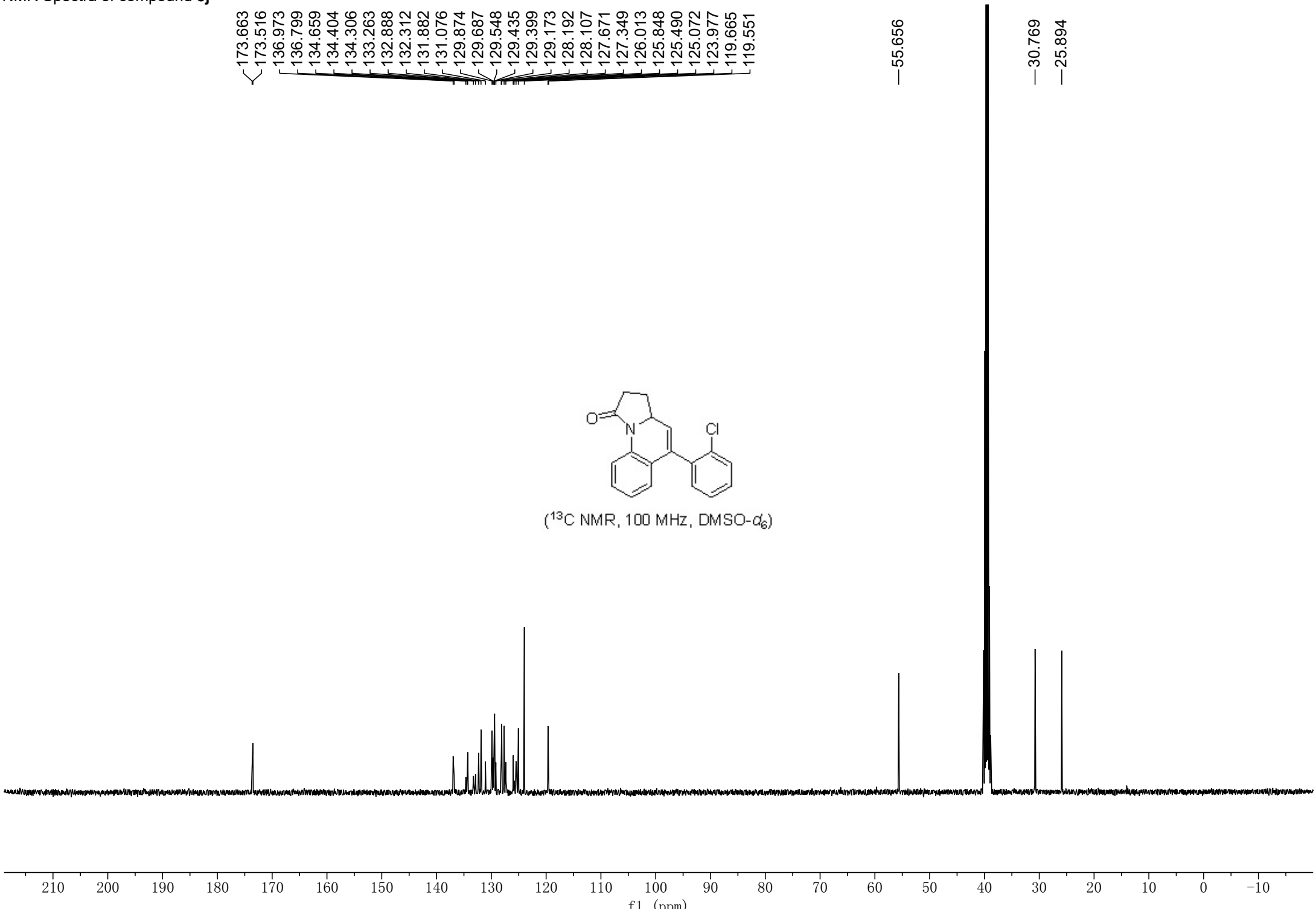
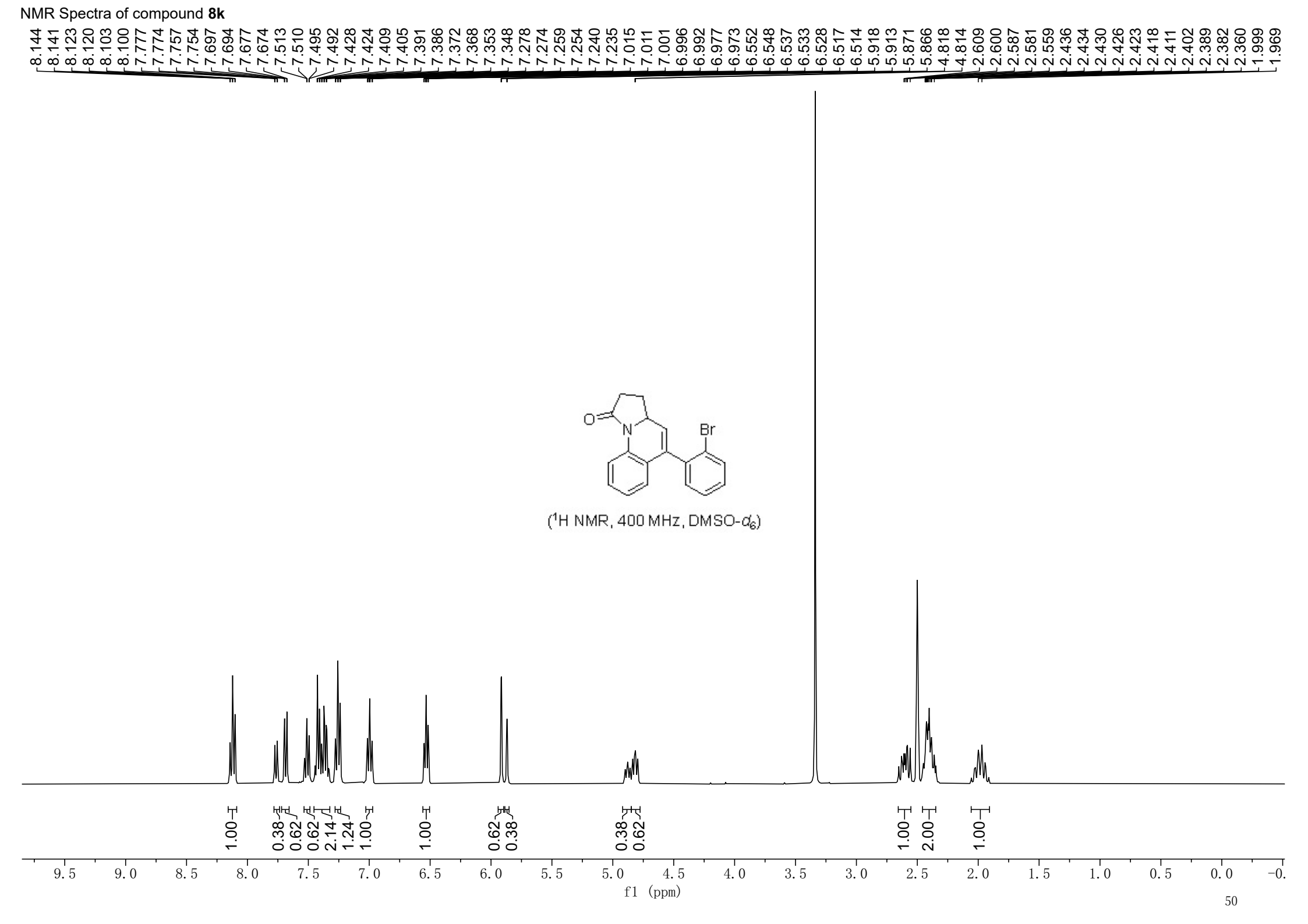


NMR Spectra of compound **8k**



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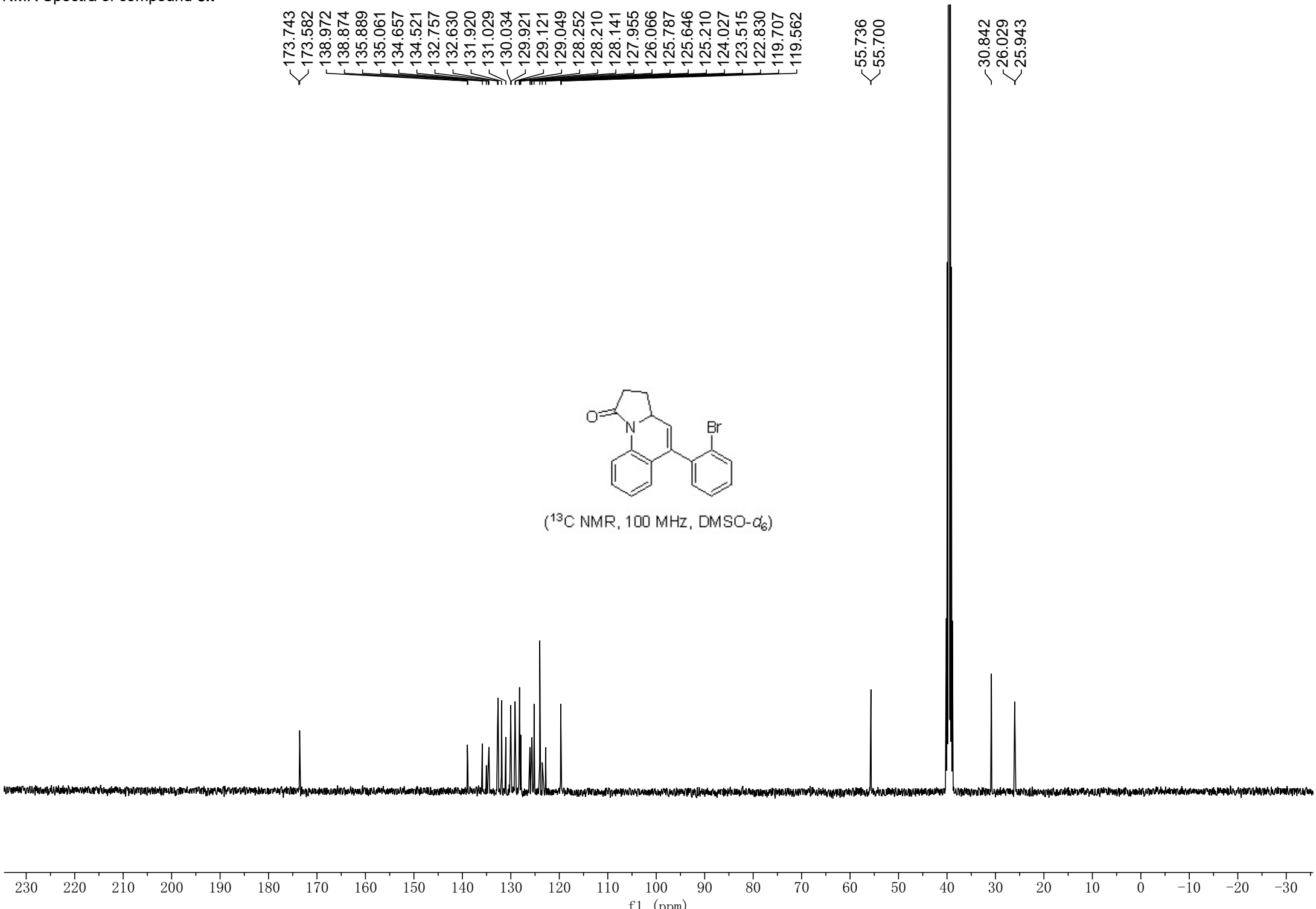
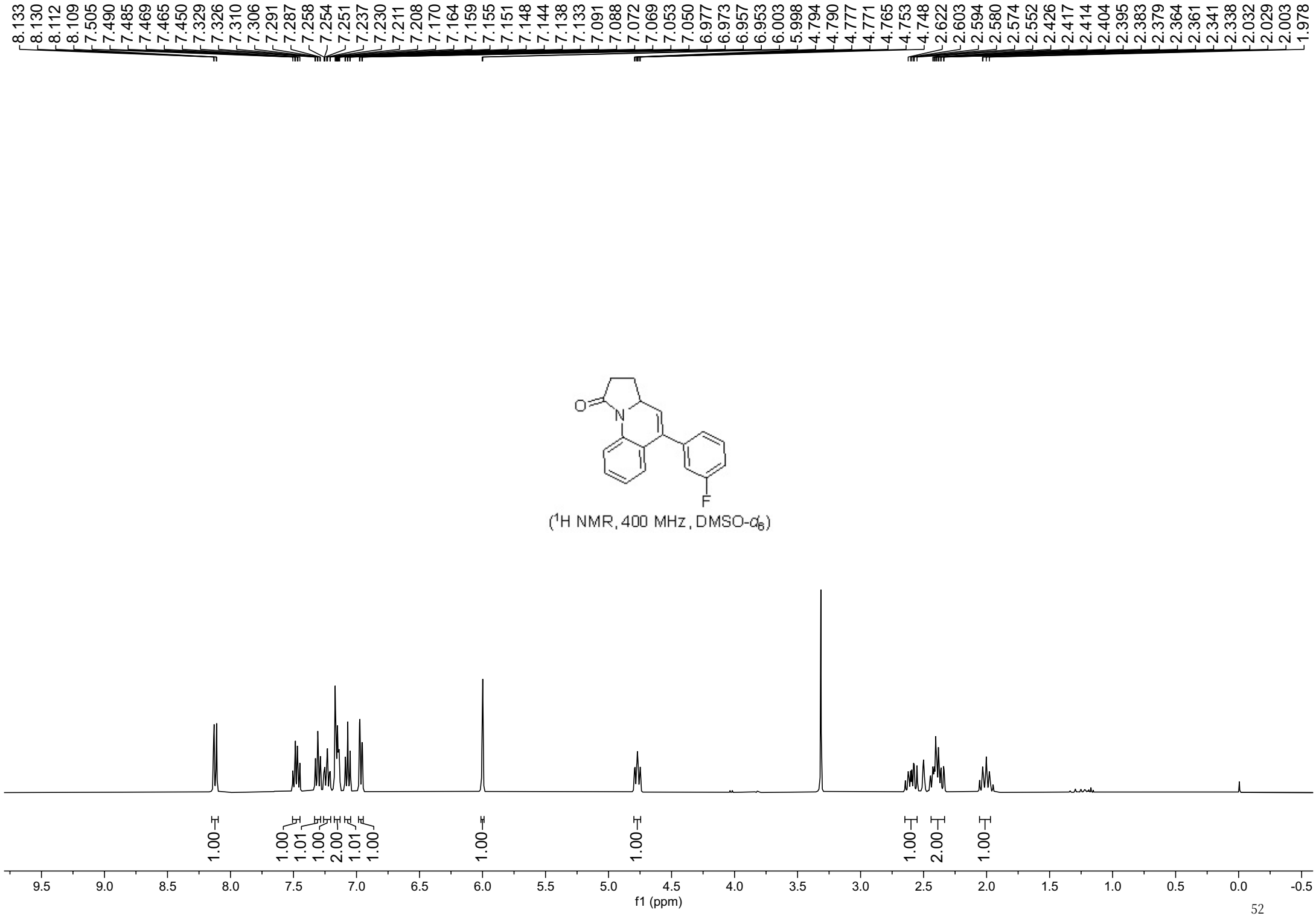
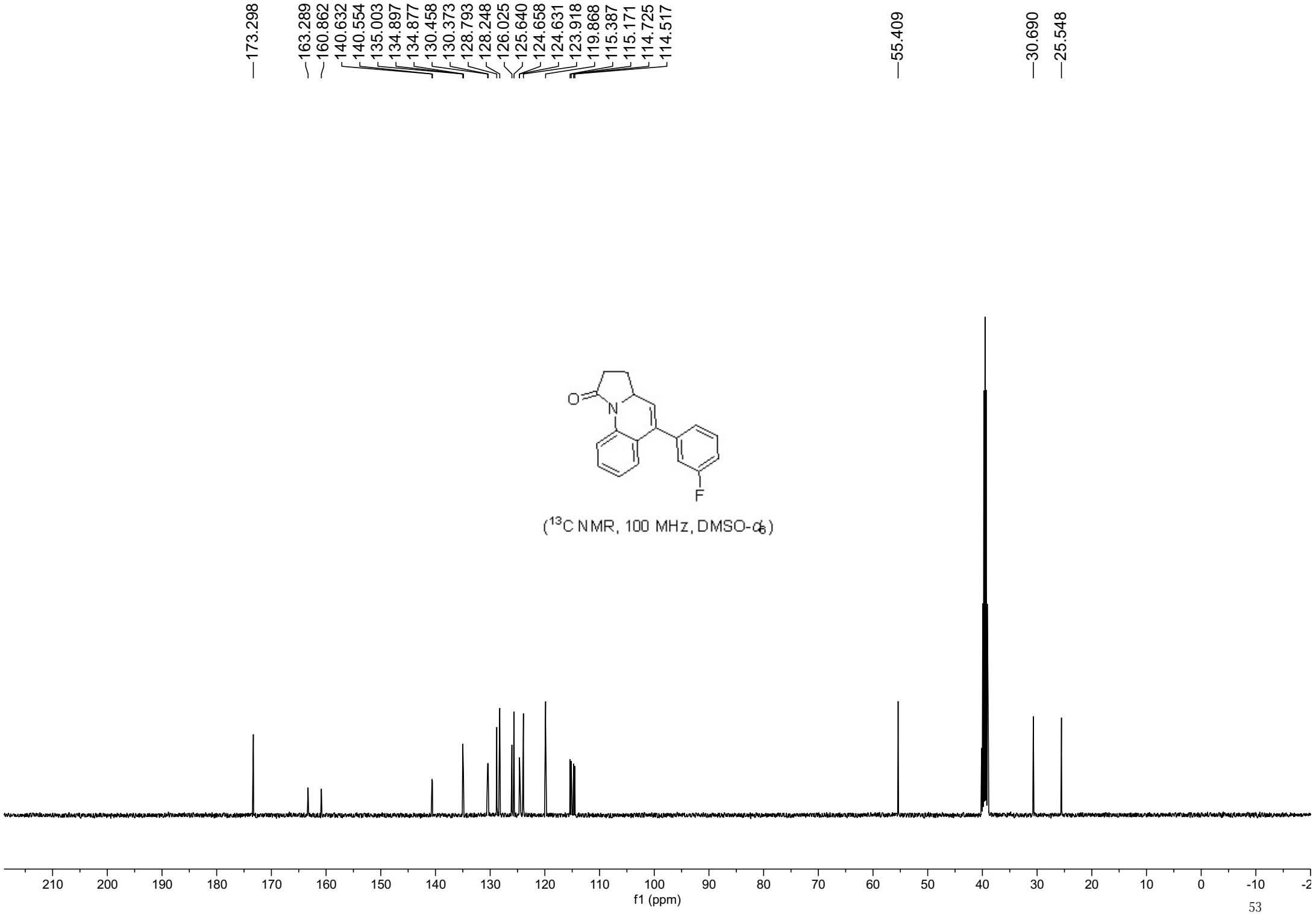


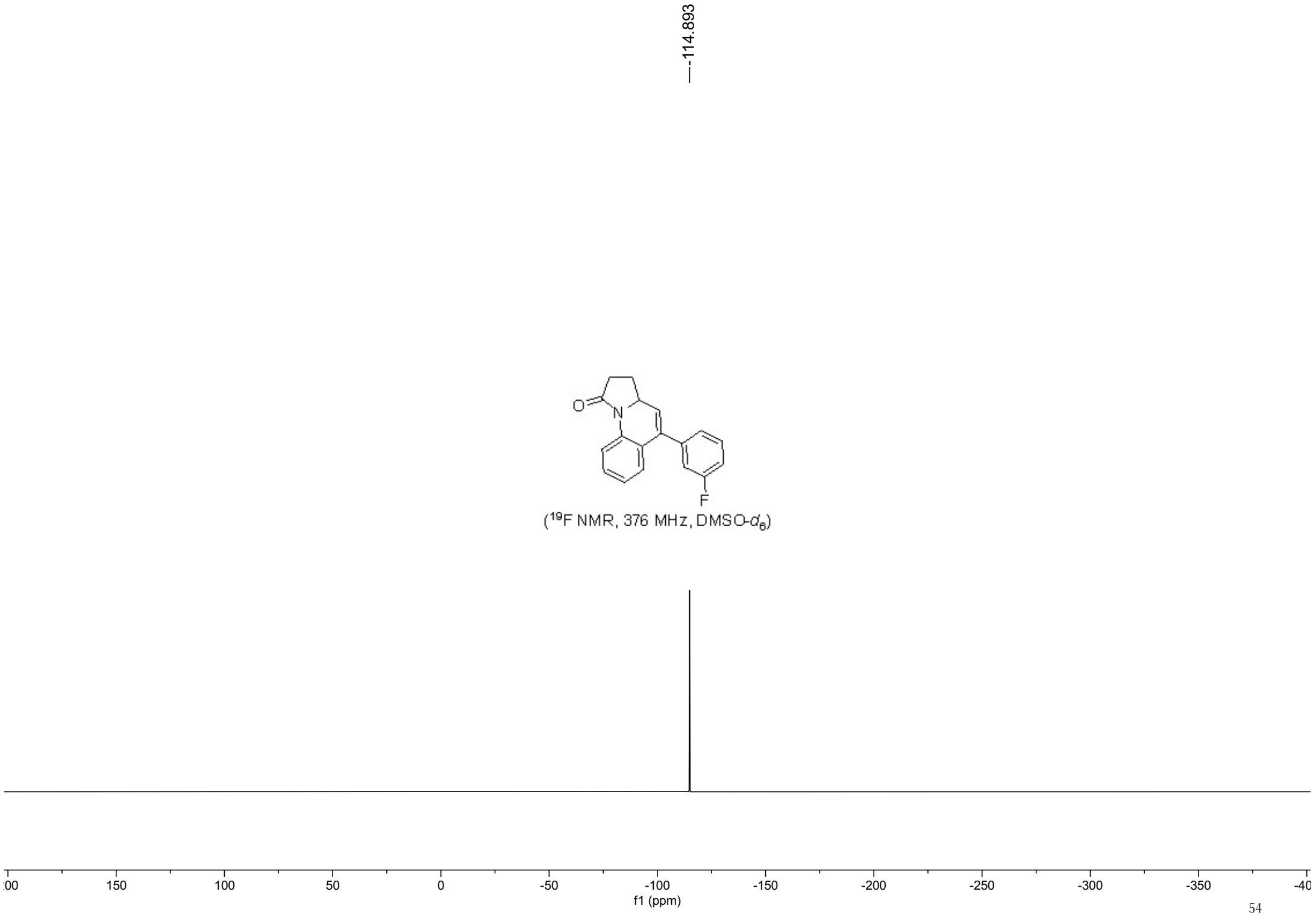
NMR Spectra of compound **8l**



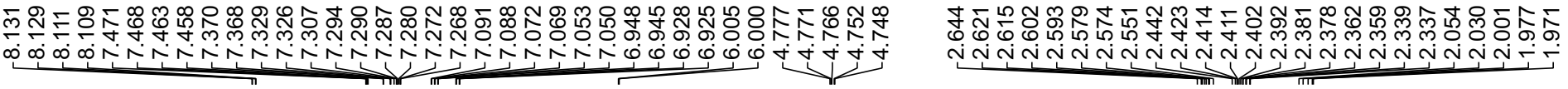
NMR Spectra of compound **8l**

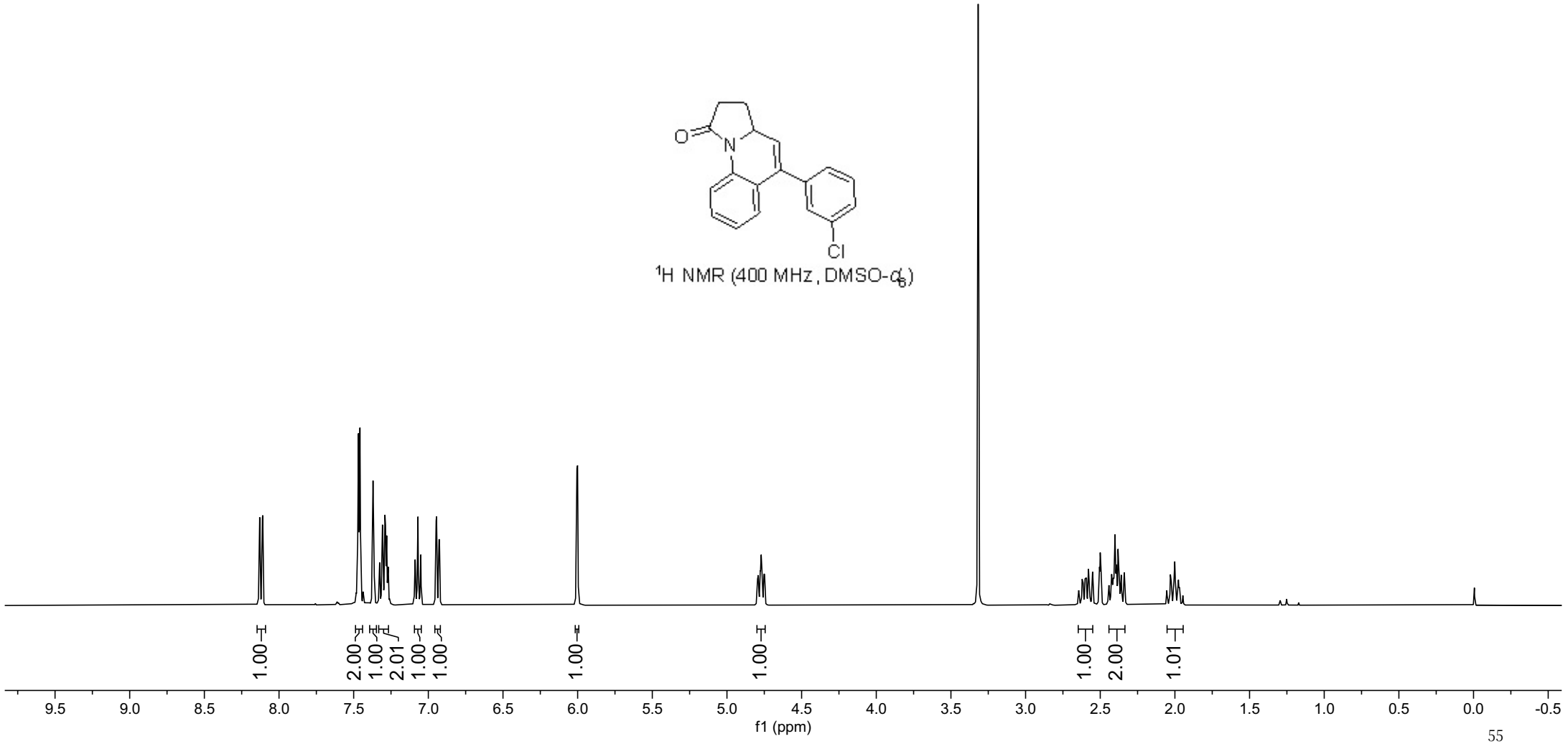


NMR Spectra of compound **8l**

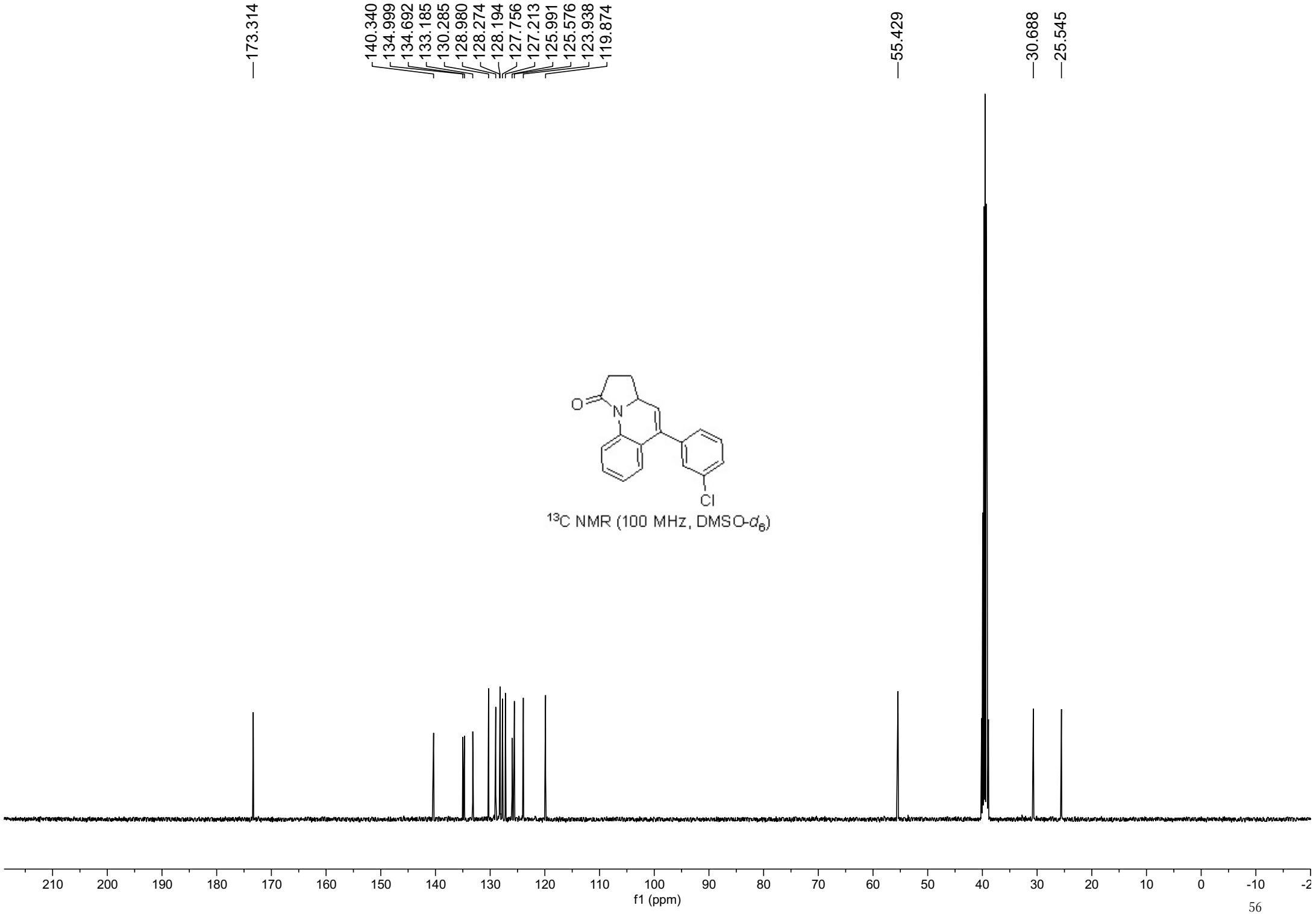


NMR Spectra of compound **8m**

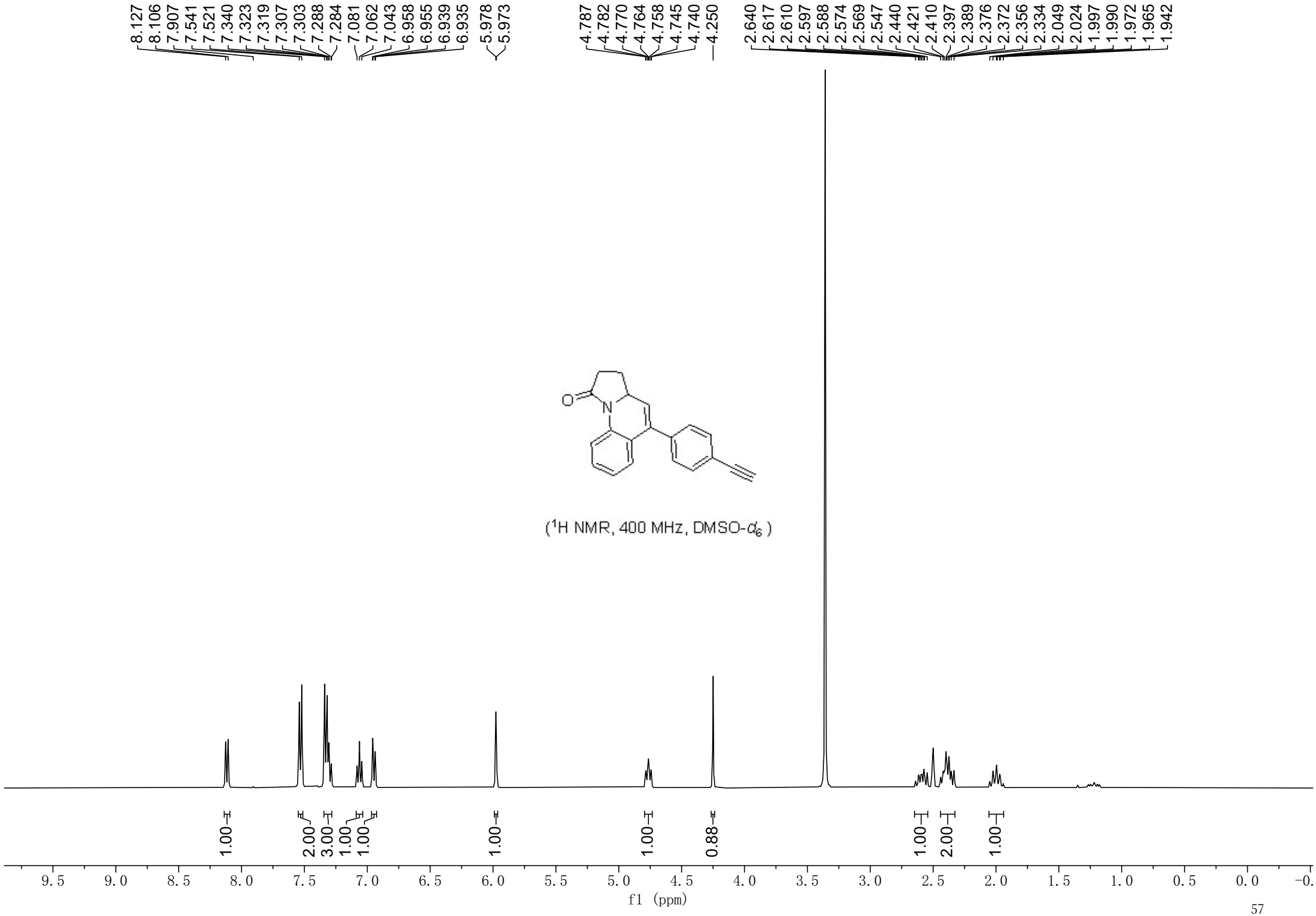




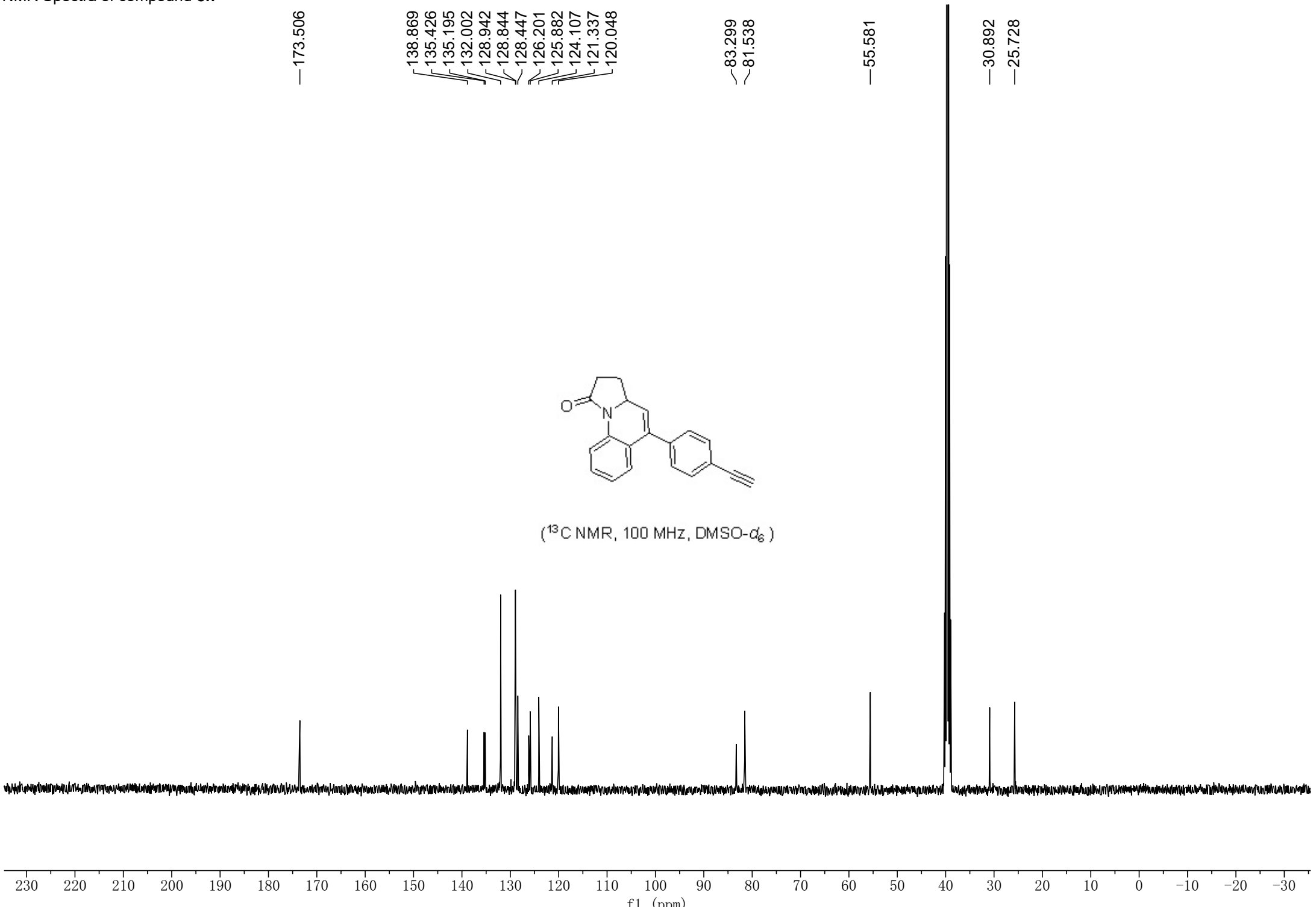
NMR Spectra of compound **8m**



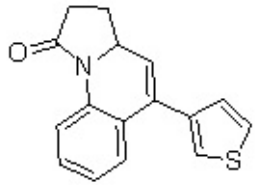
NMR Spectra of compound **8n**



NMR Spectra of compound **8n**

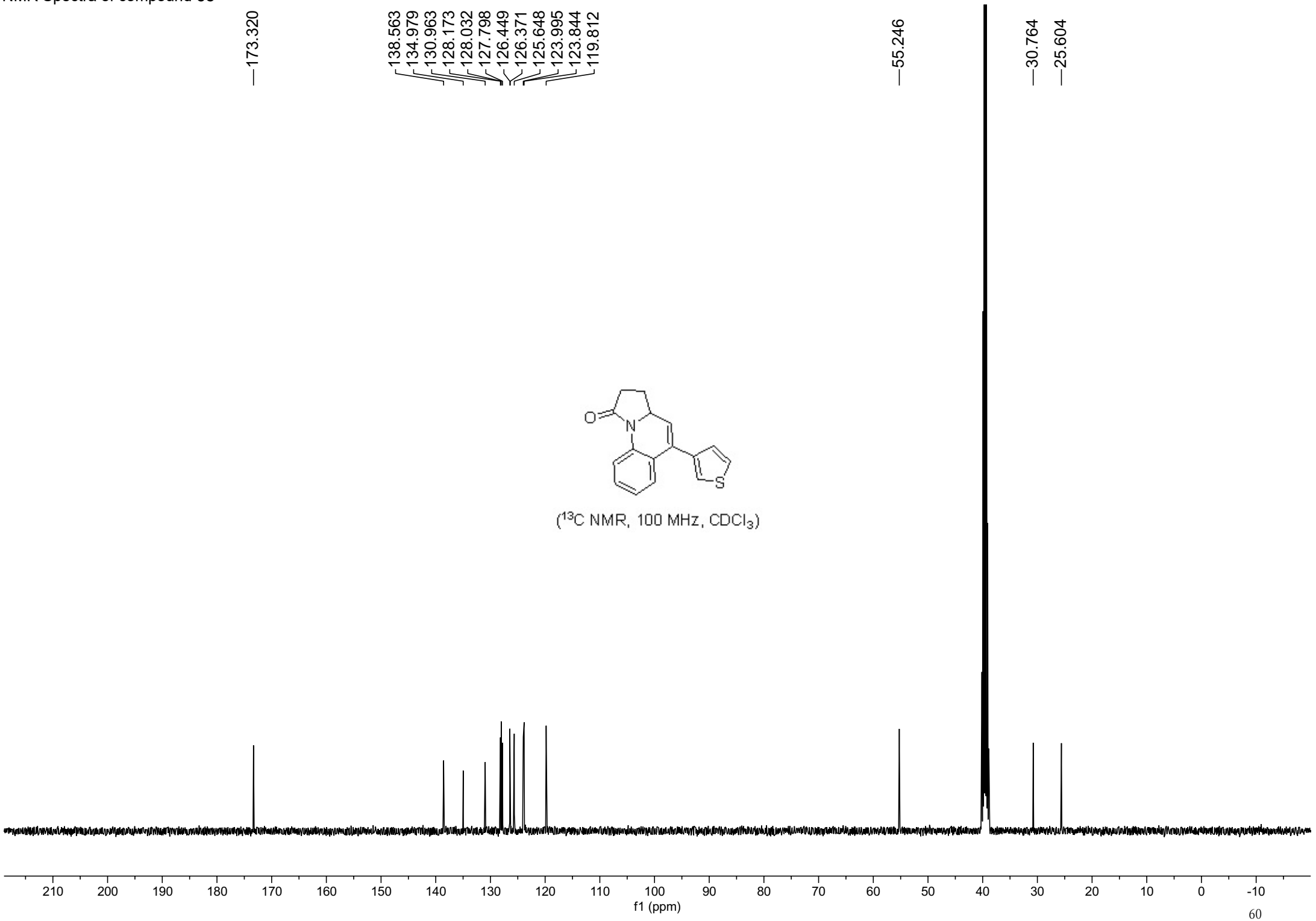


NMR Spectra of compound **8o**

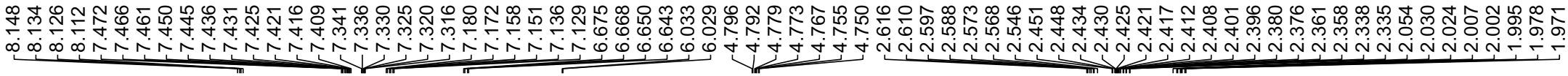


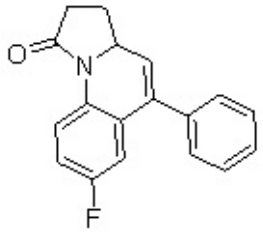
(¹H NMR, 400 MHz, $CDCl_3$)

NMR Spectra of compound **8o**

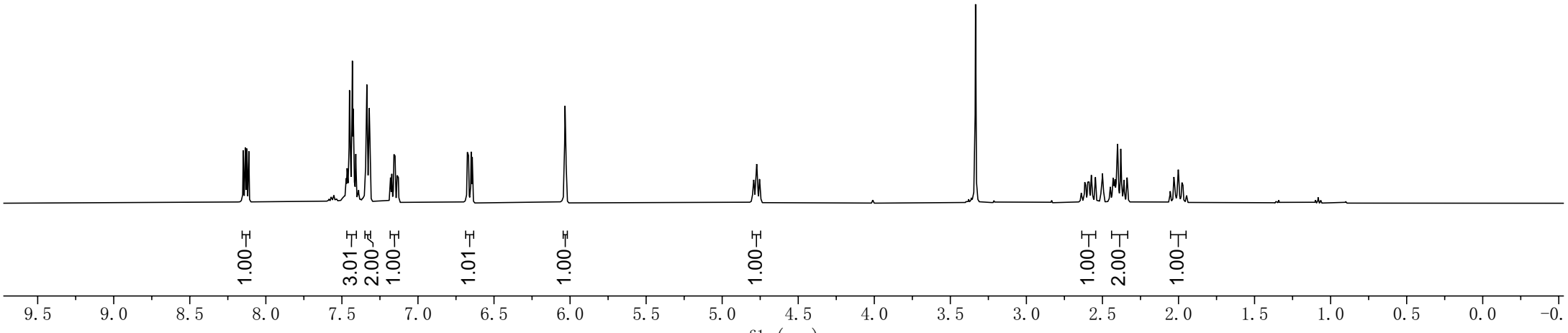


NMR Spectra of compound **9a**

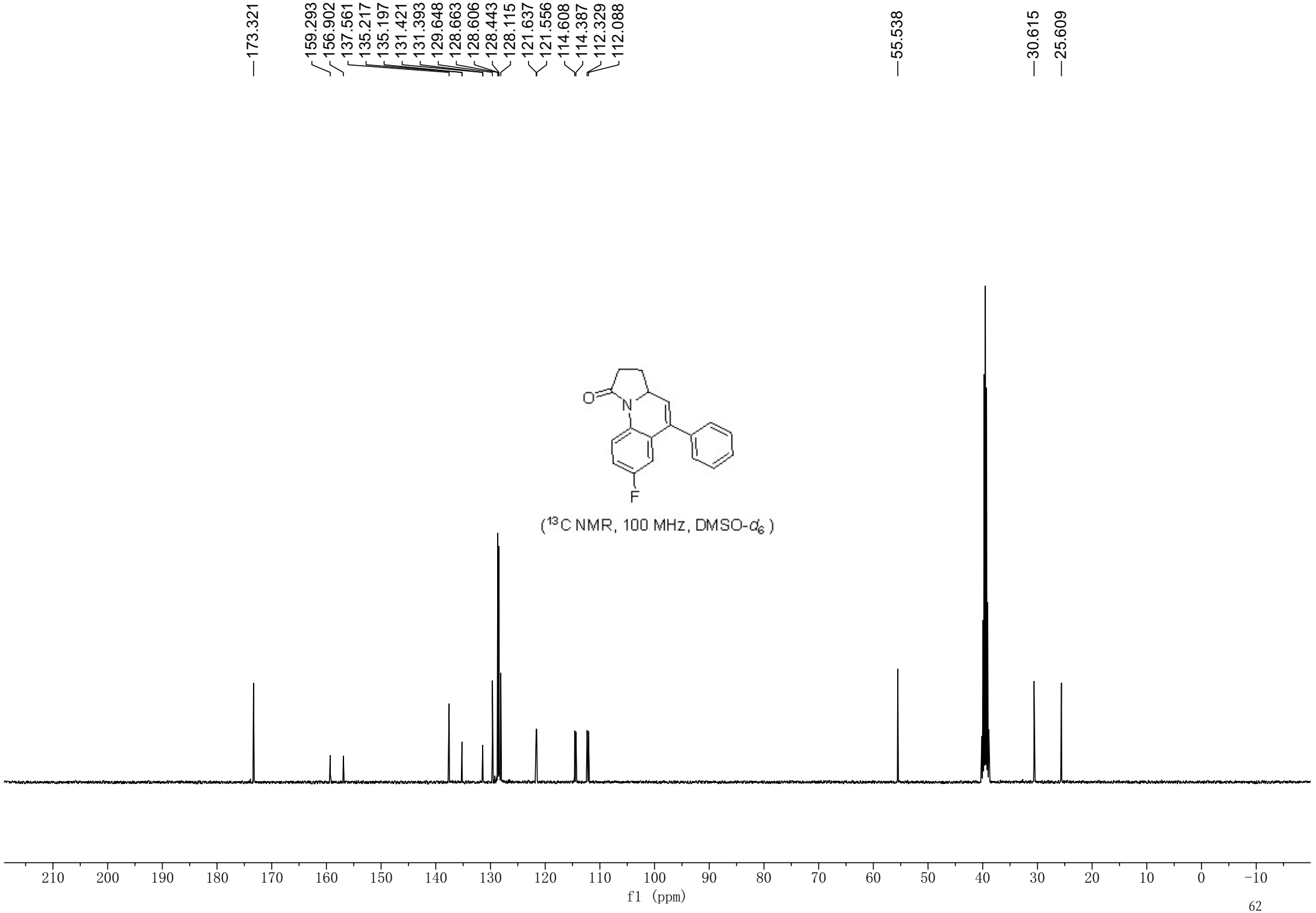




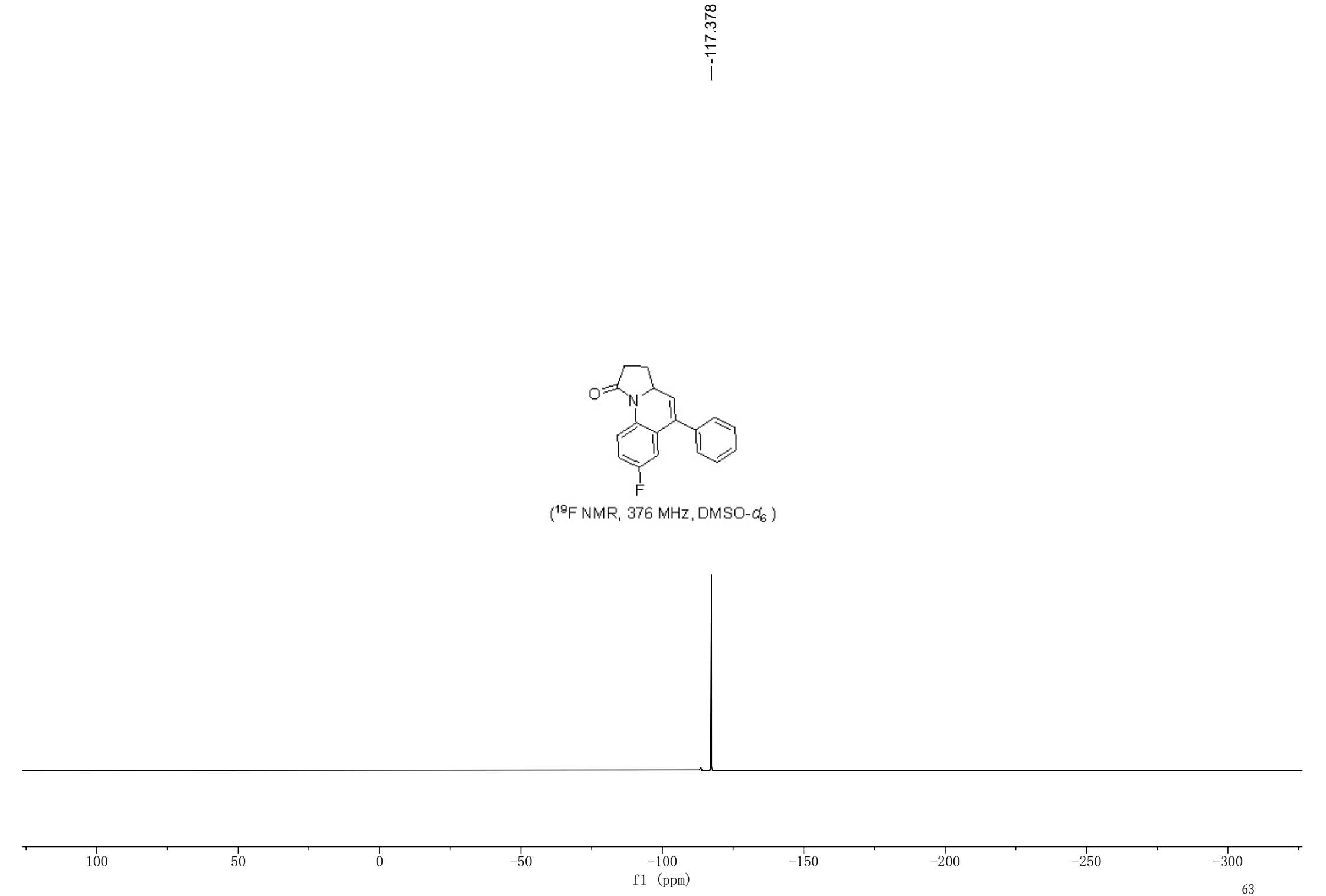
(^{1}H NMR, 400 MHz, DMSO-d_6)



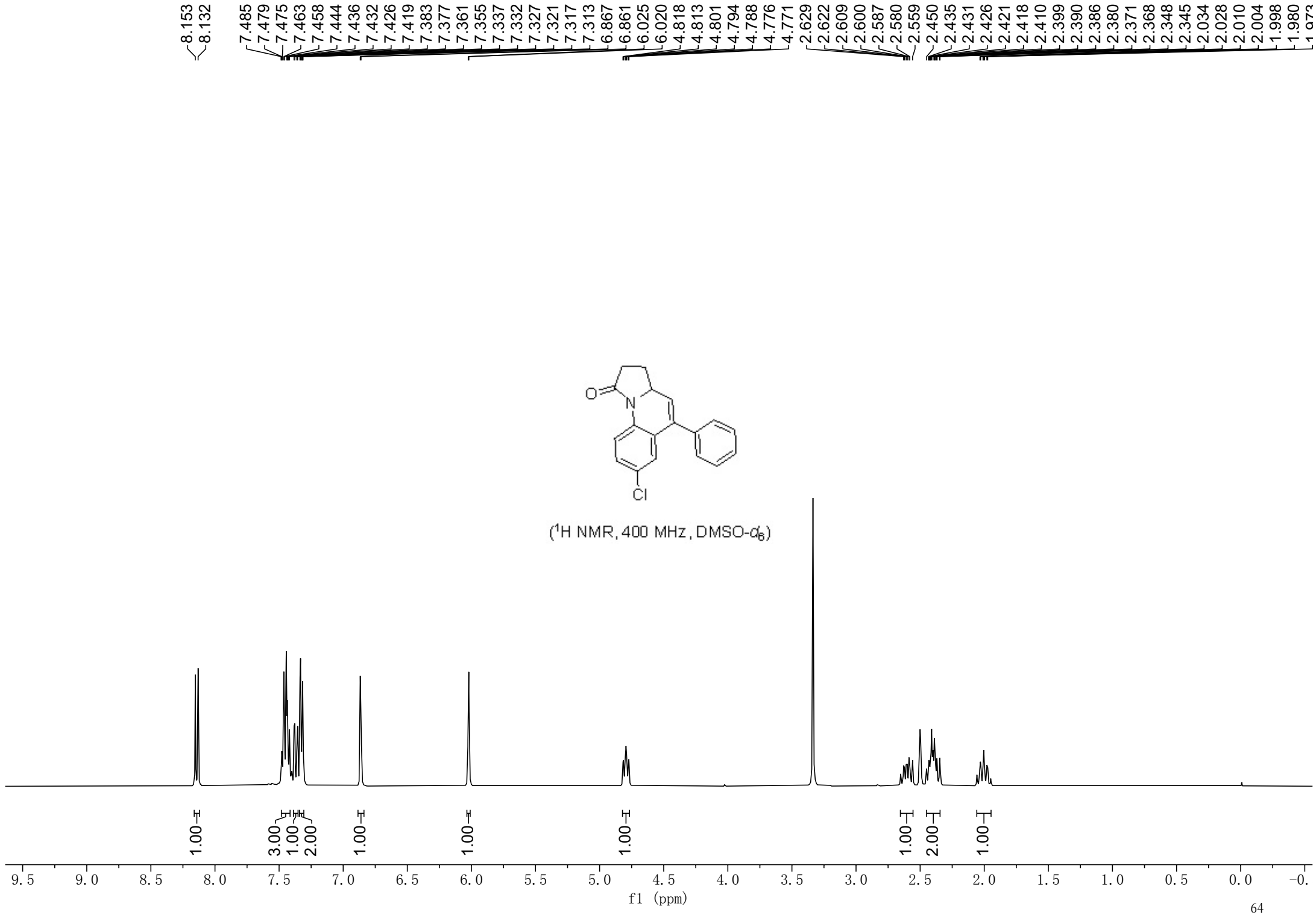
NMR Spectra of compound **9a**



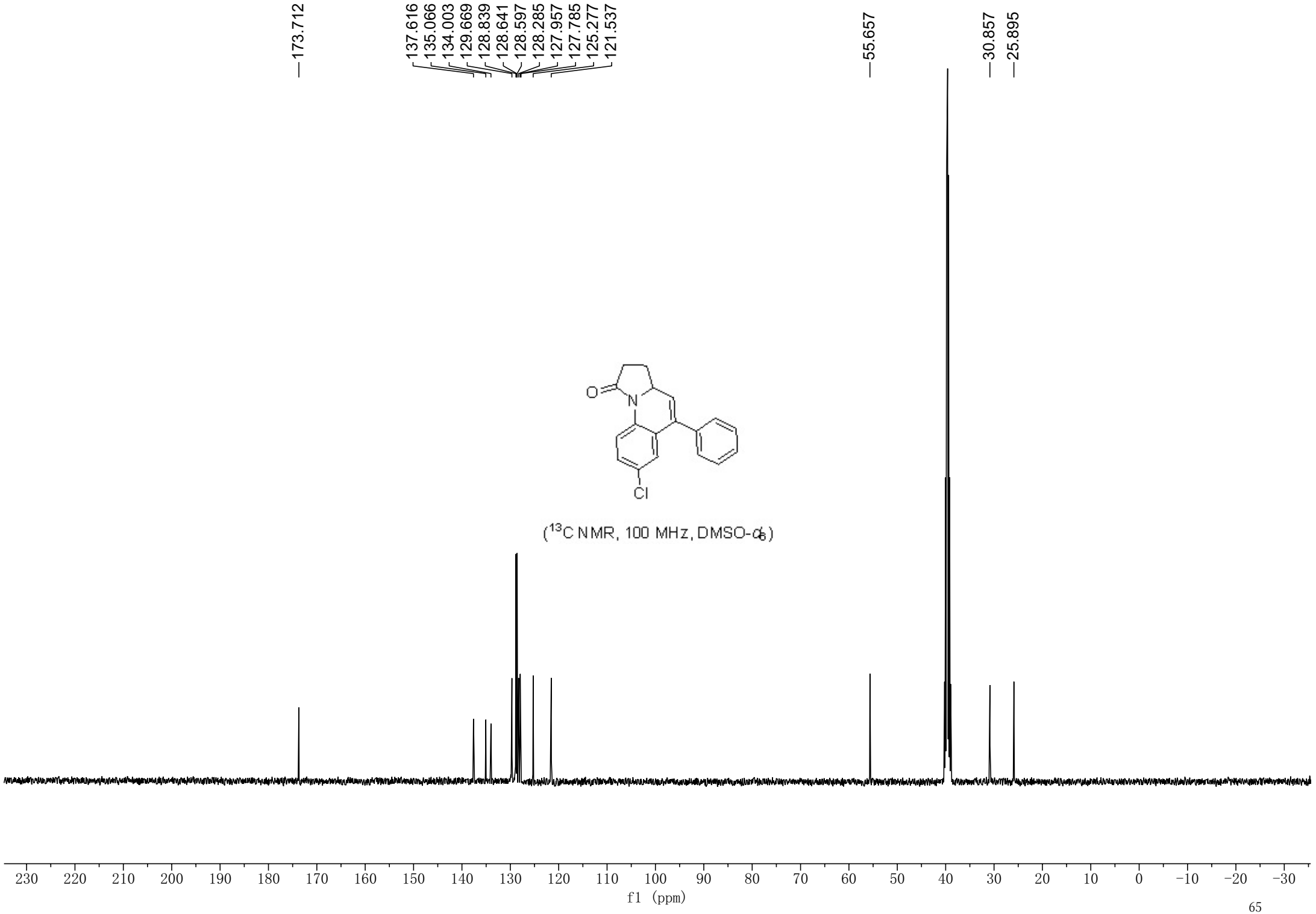
NMR Spectra of compound **9a**



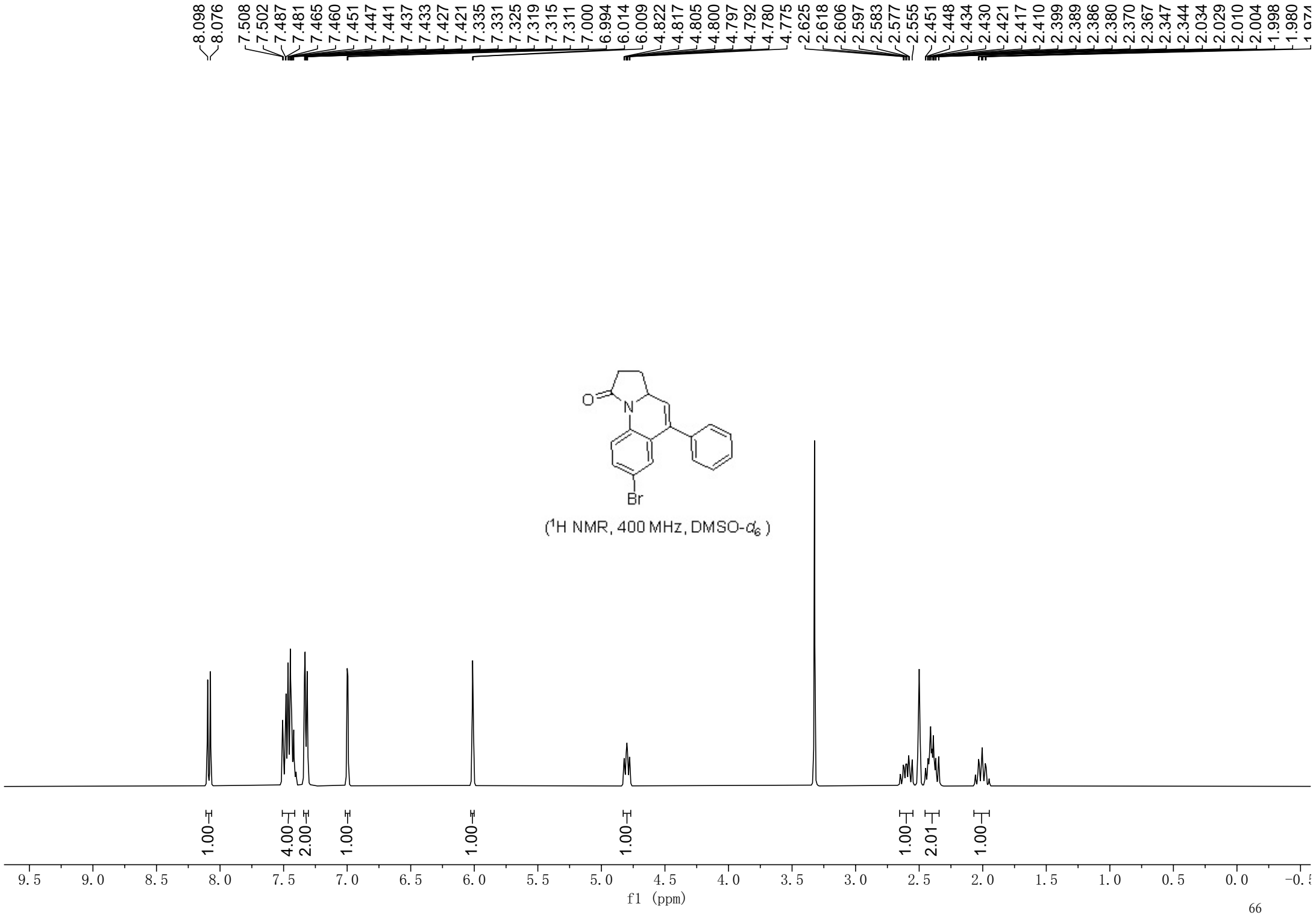
NMR Spectra of compound **9b**



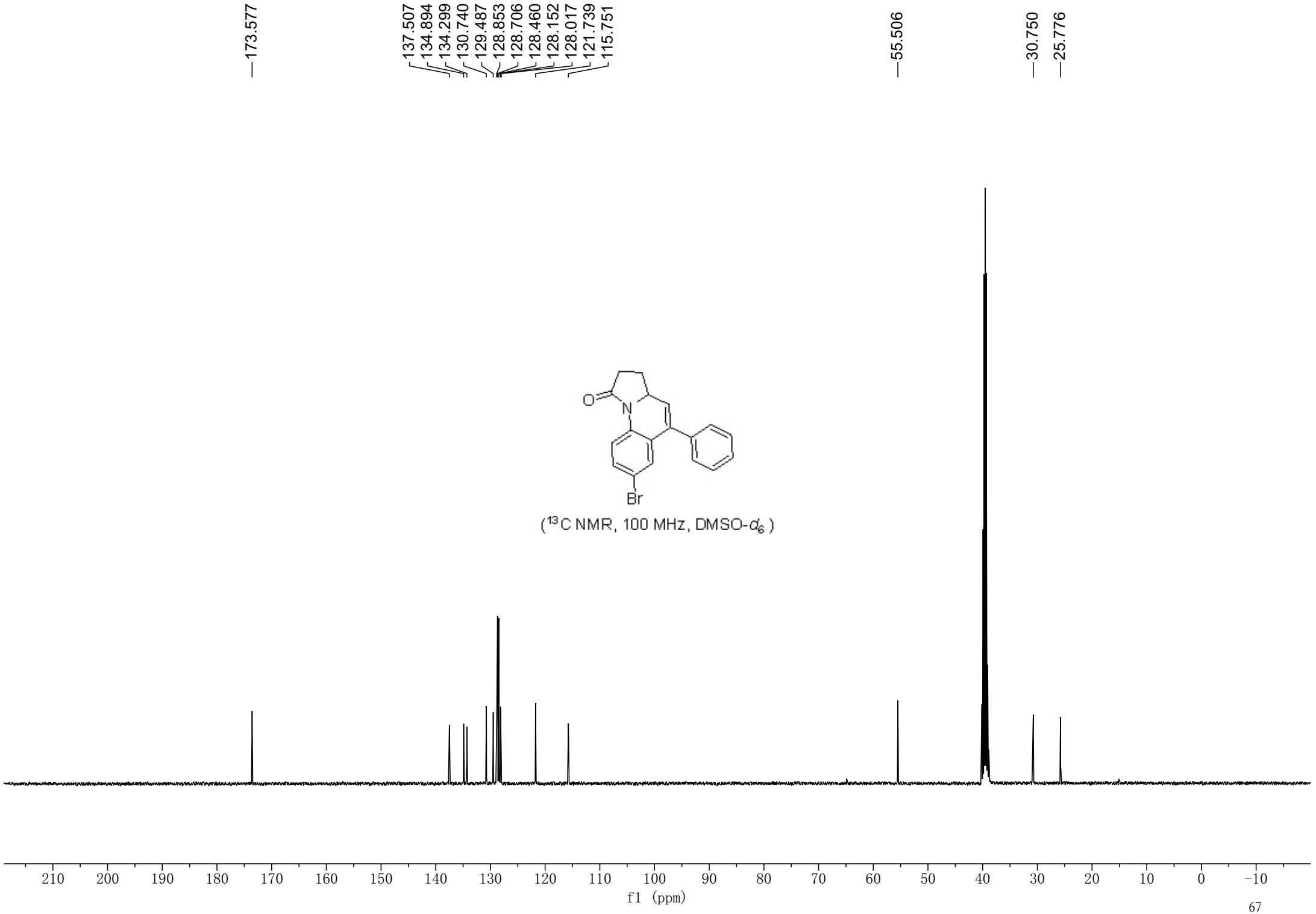
NMR Spectra of compound **9b**



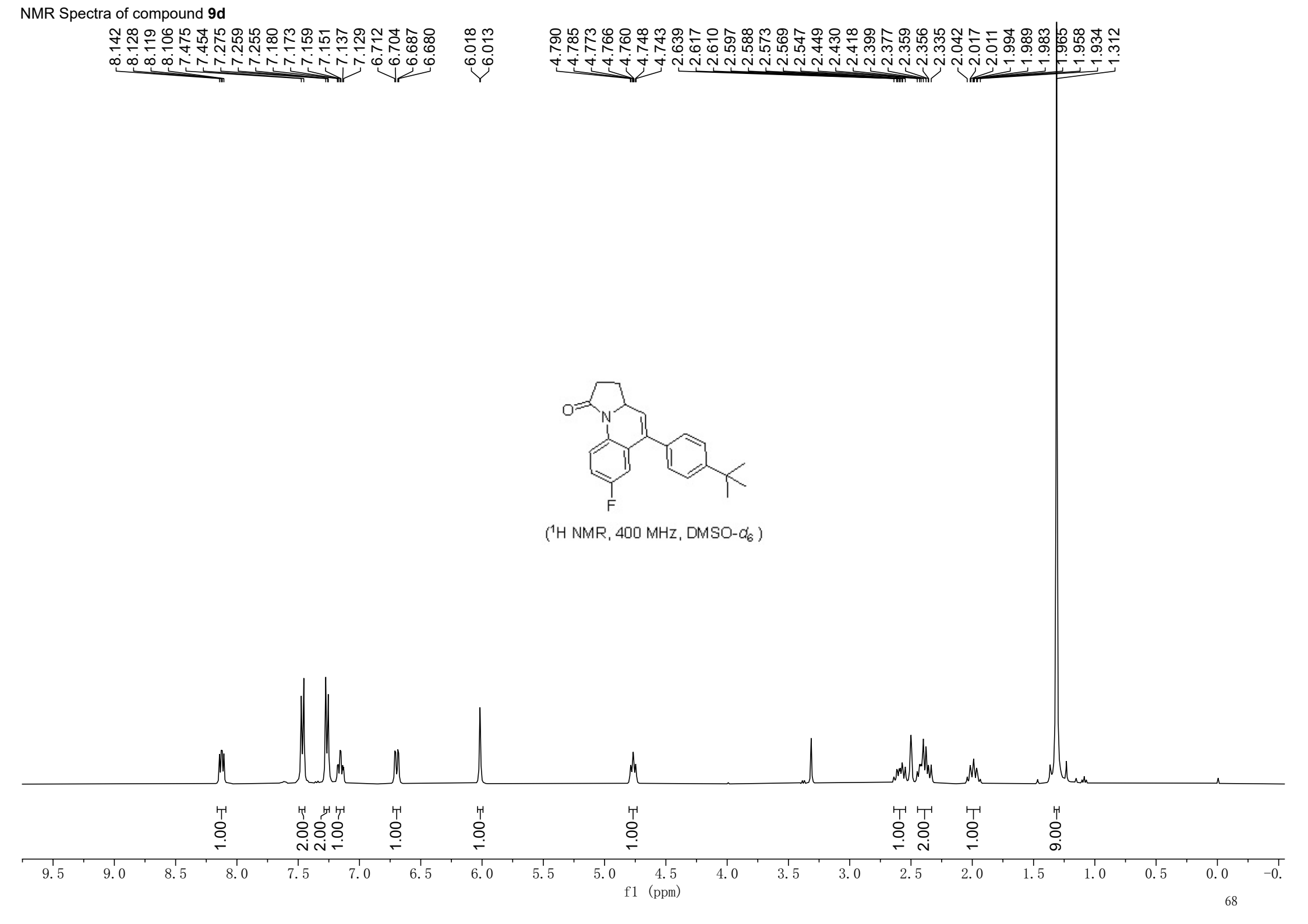
NMR Spectra of compound **9c**



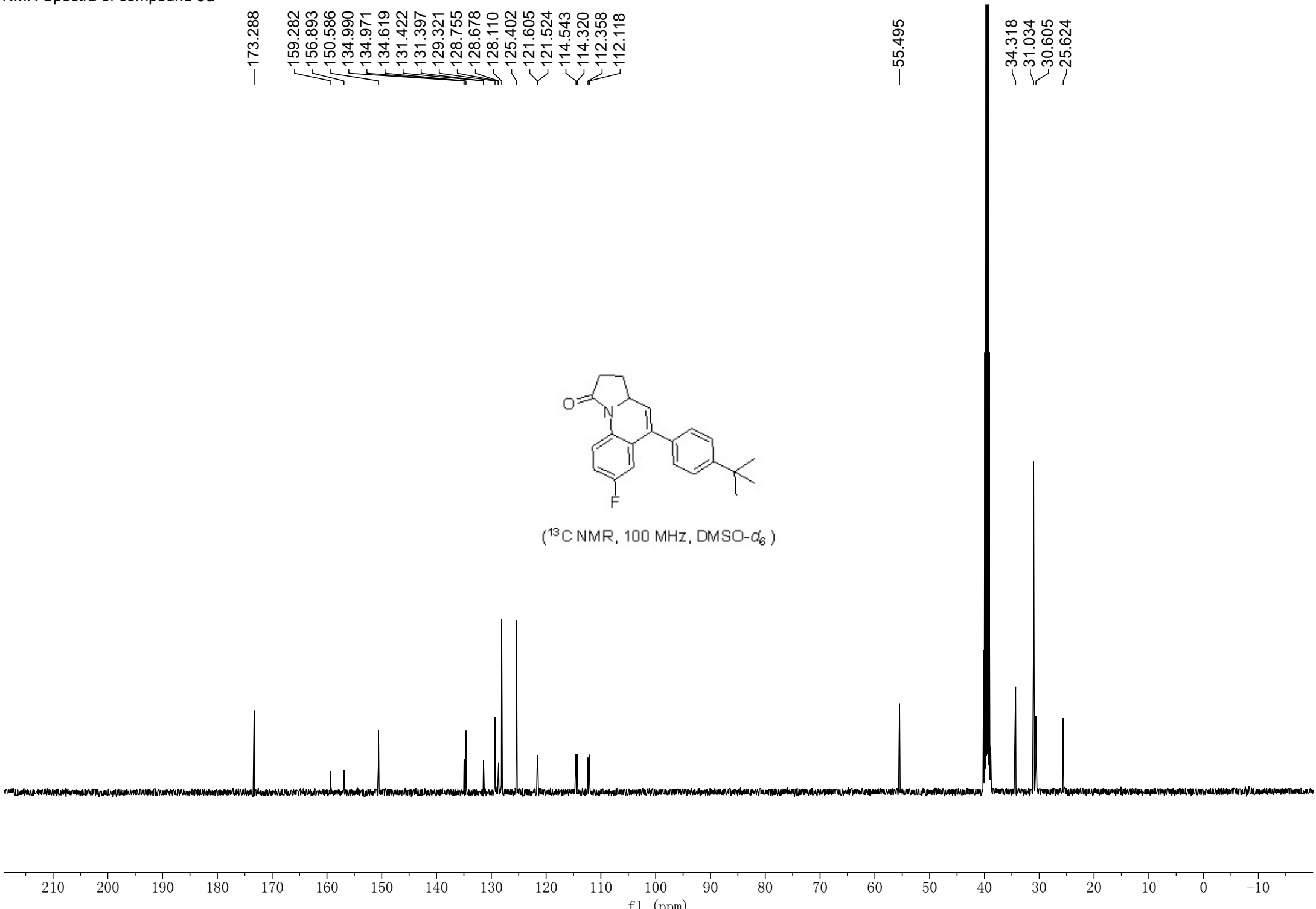
NMR Spectra of compound **9c**



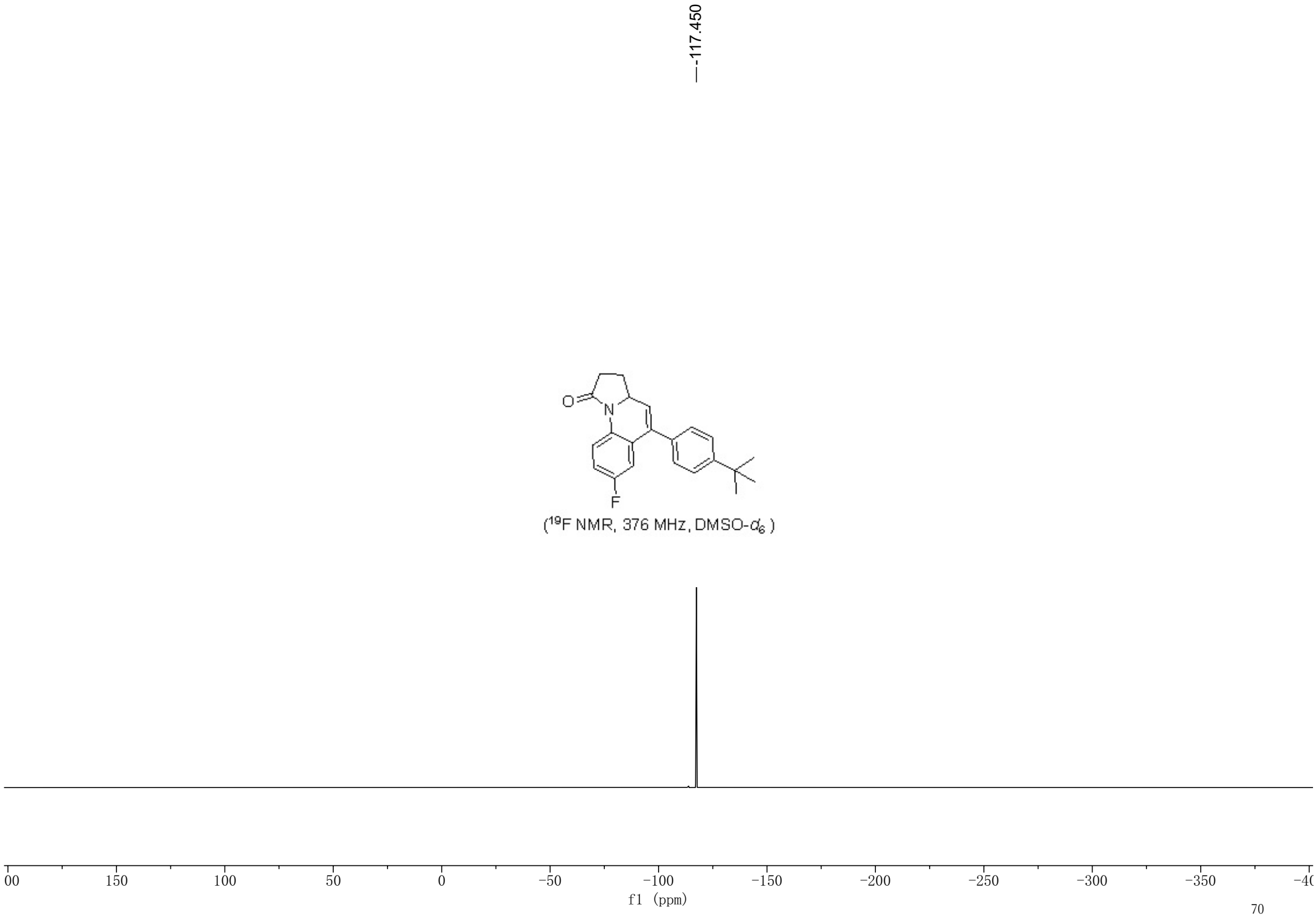
NMR Spectra of compound **9d**



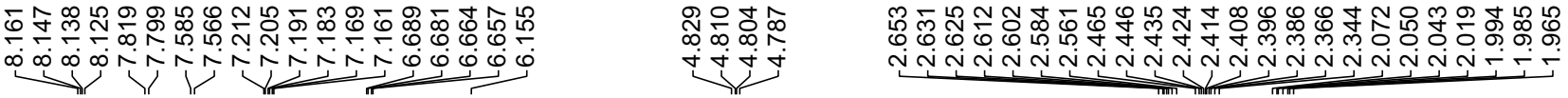
NMR Spectra of compound **9d**

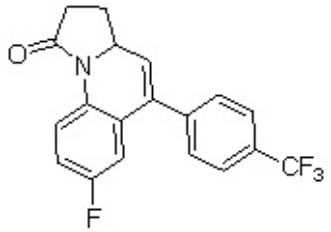


NMR Spectra of compound **9d**

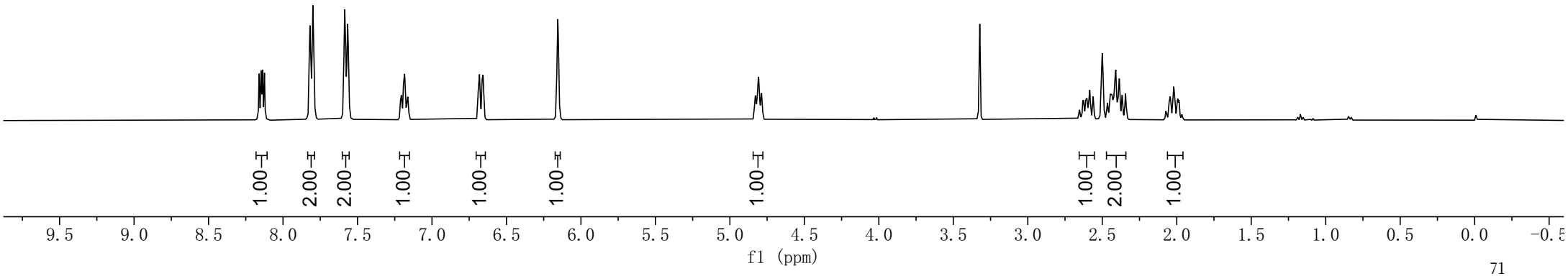


NMR Spectra of compound **9e**

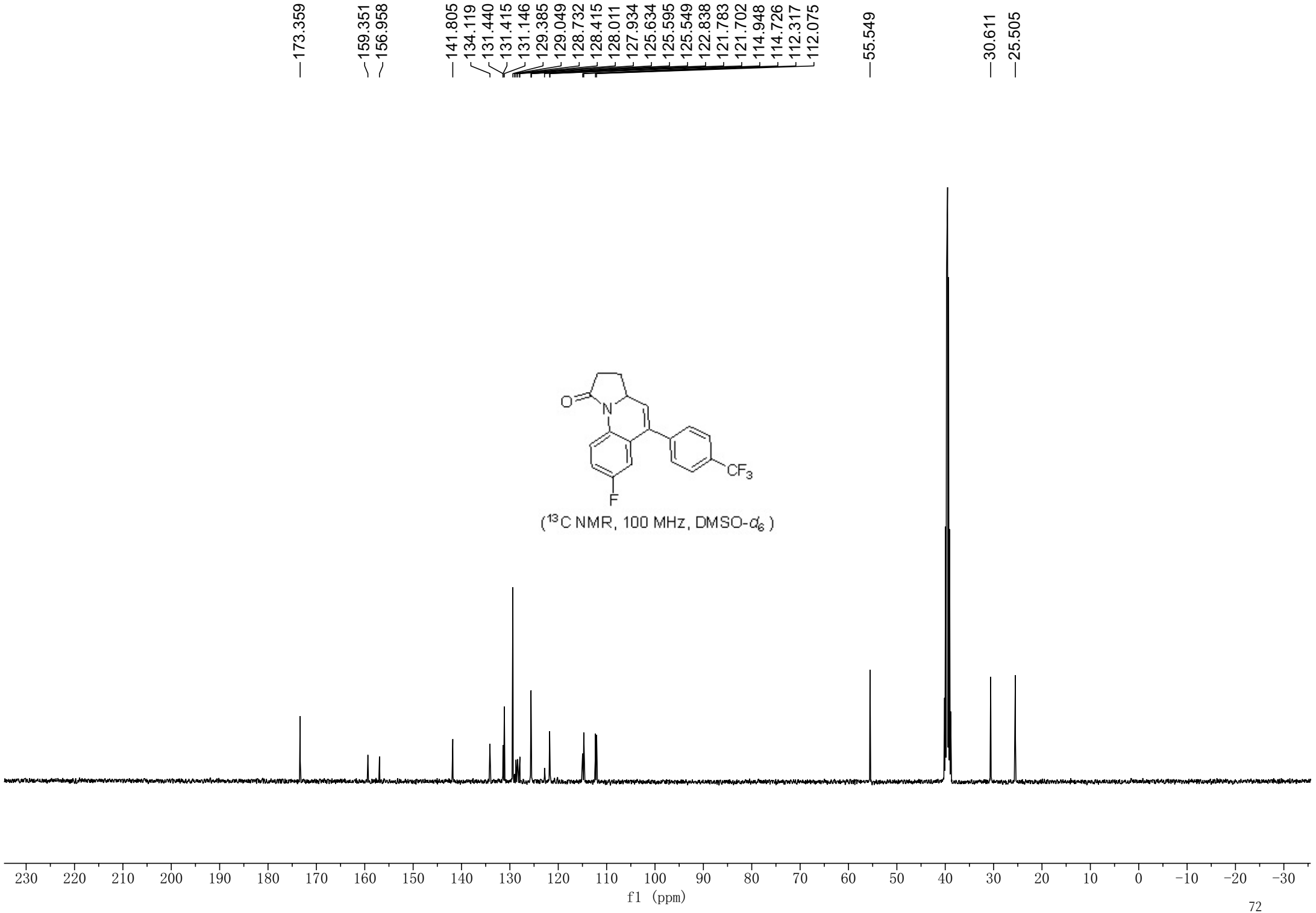




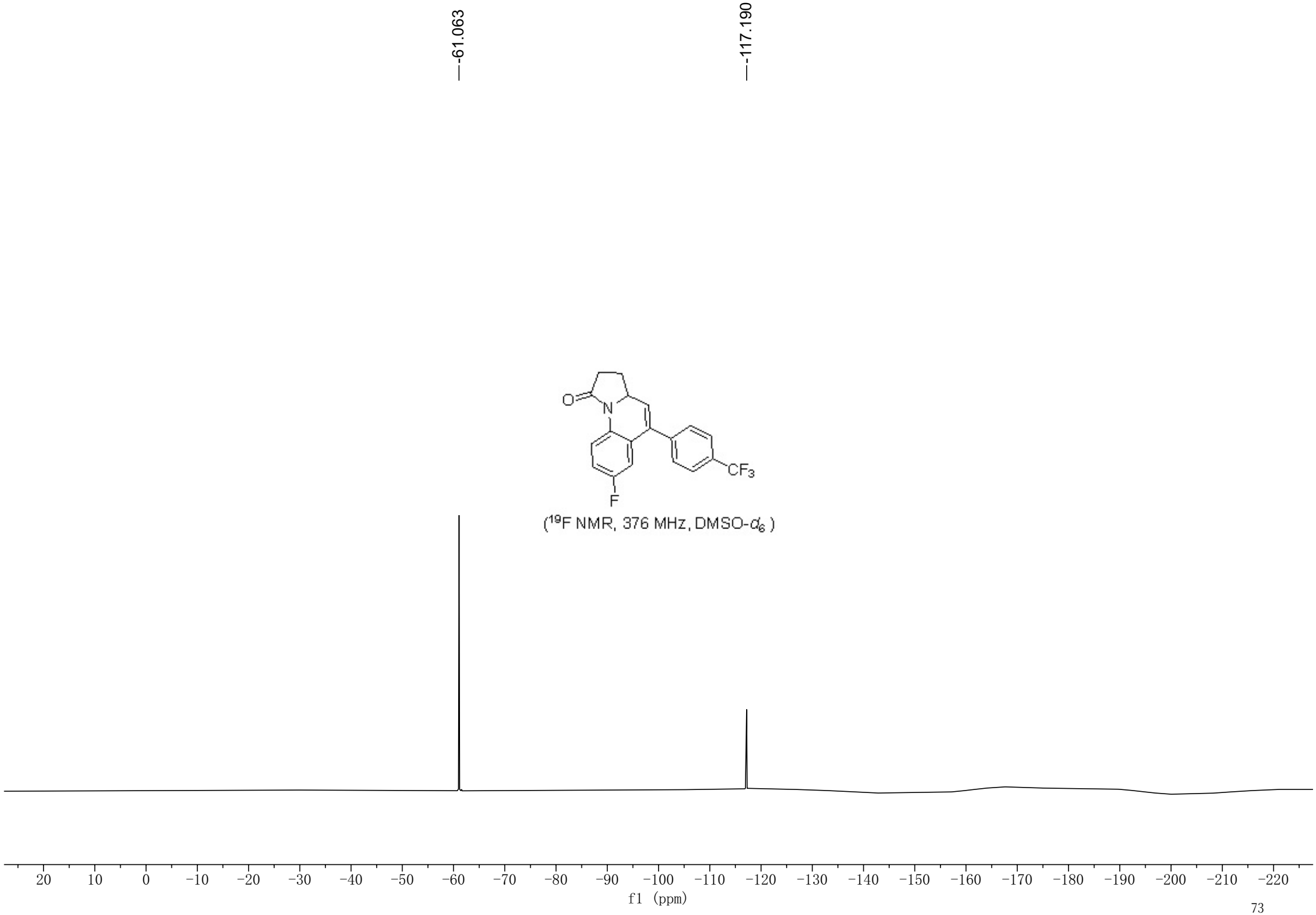
(^{1}H NMR, 400 MHz, DMSO-d_6)



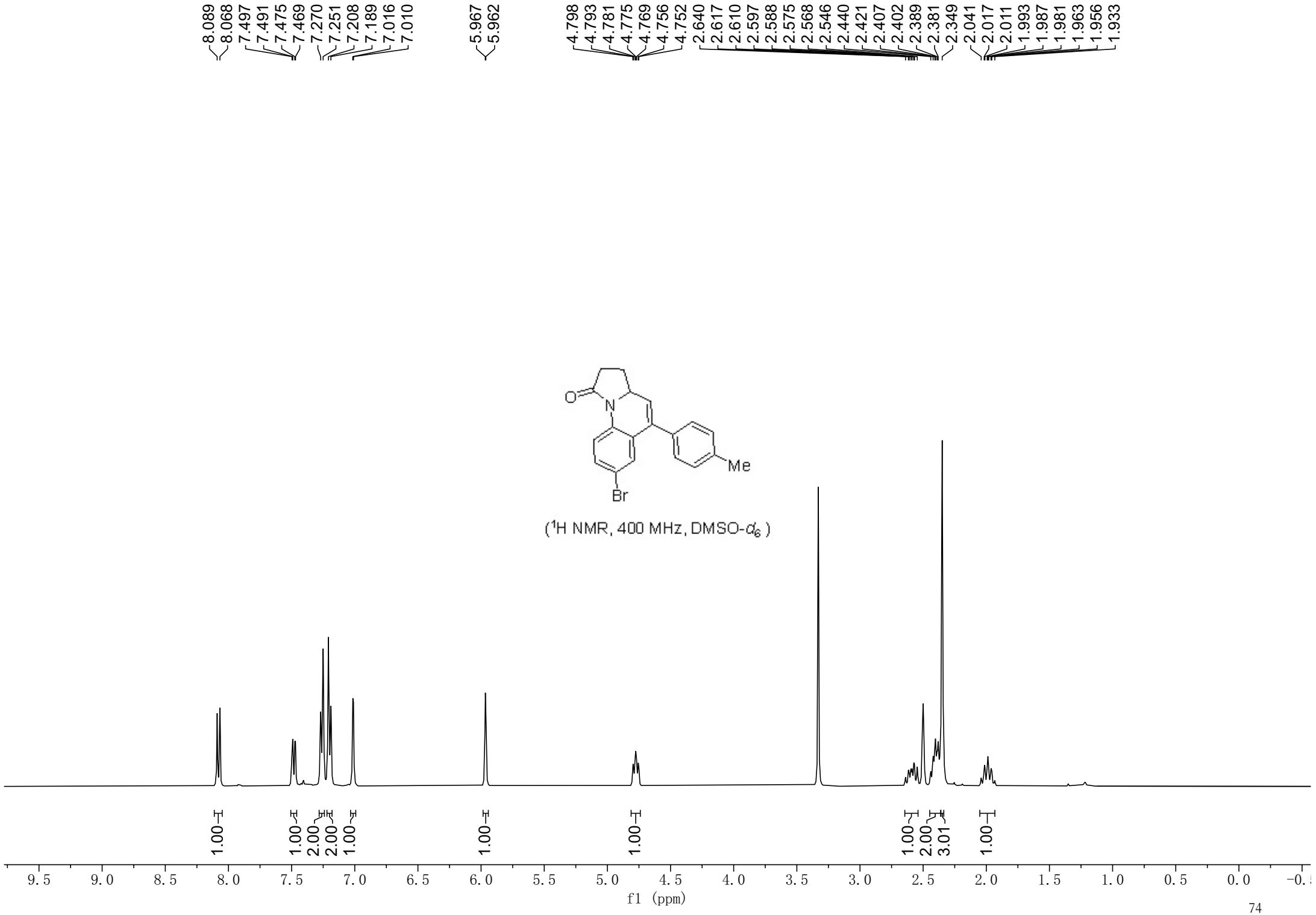
NMR Spectra of compound **9e**



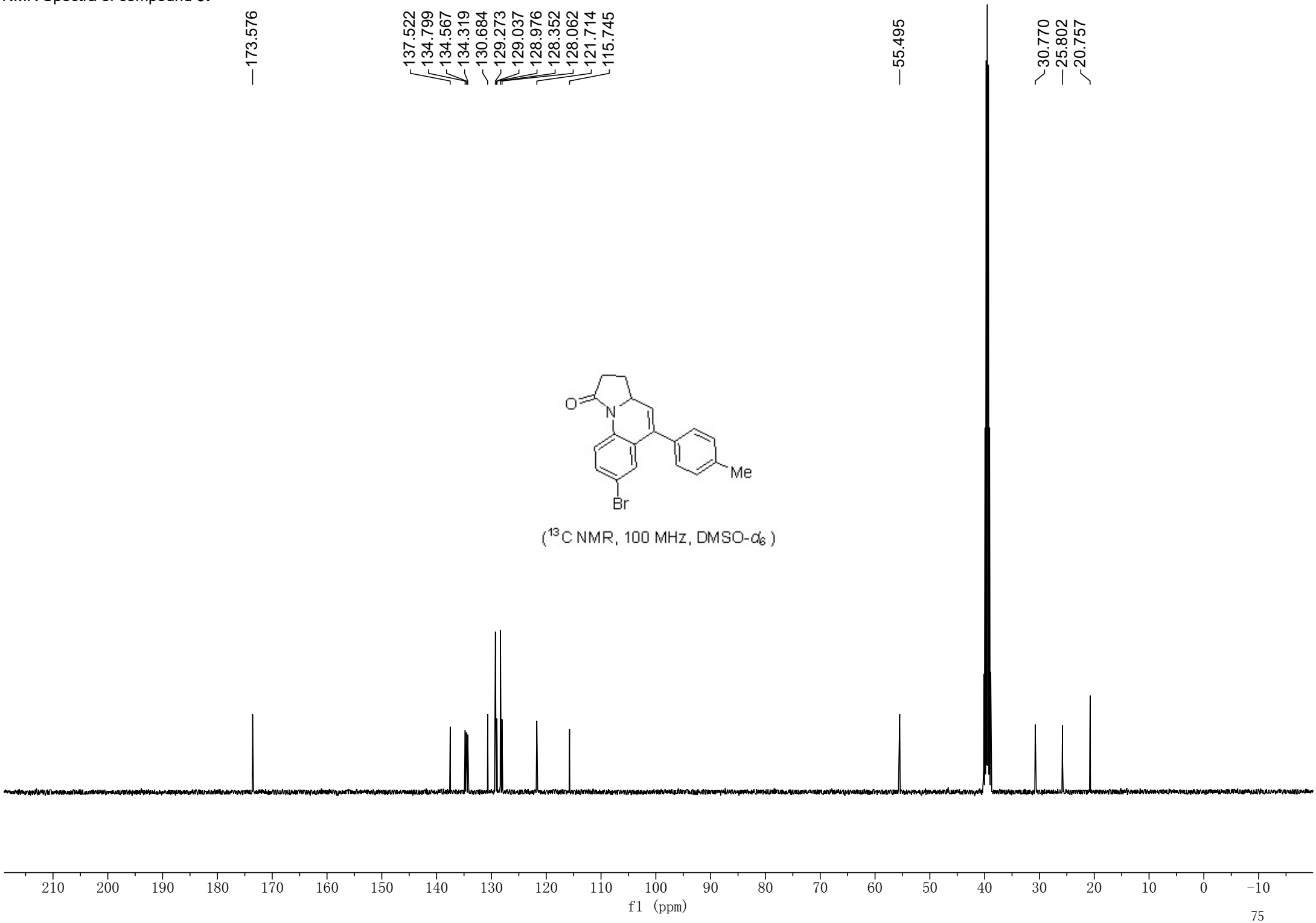
NMR Spectra of compound **9e**



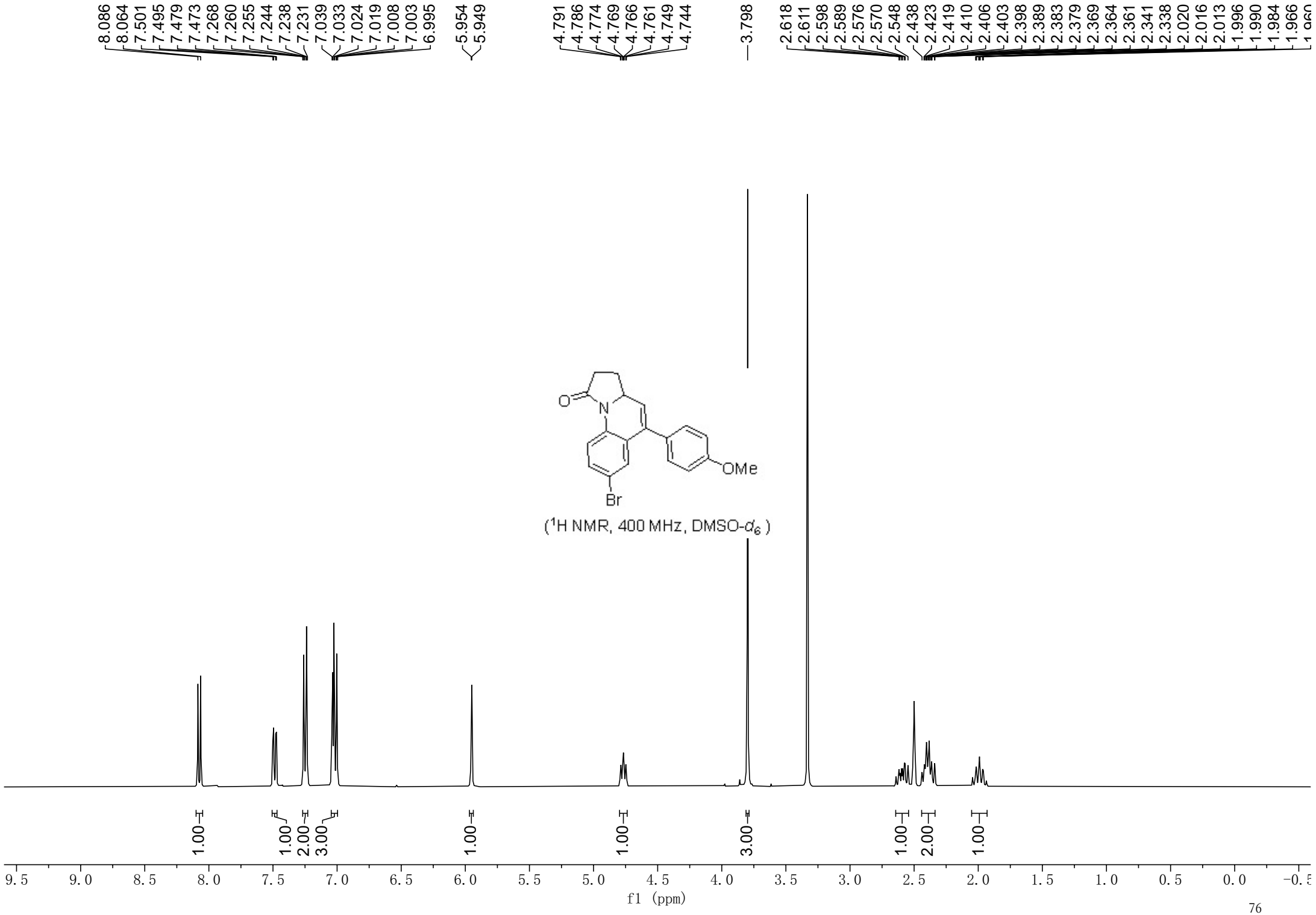
NMR Spectra of compound **9f**



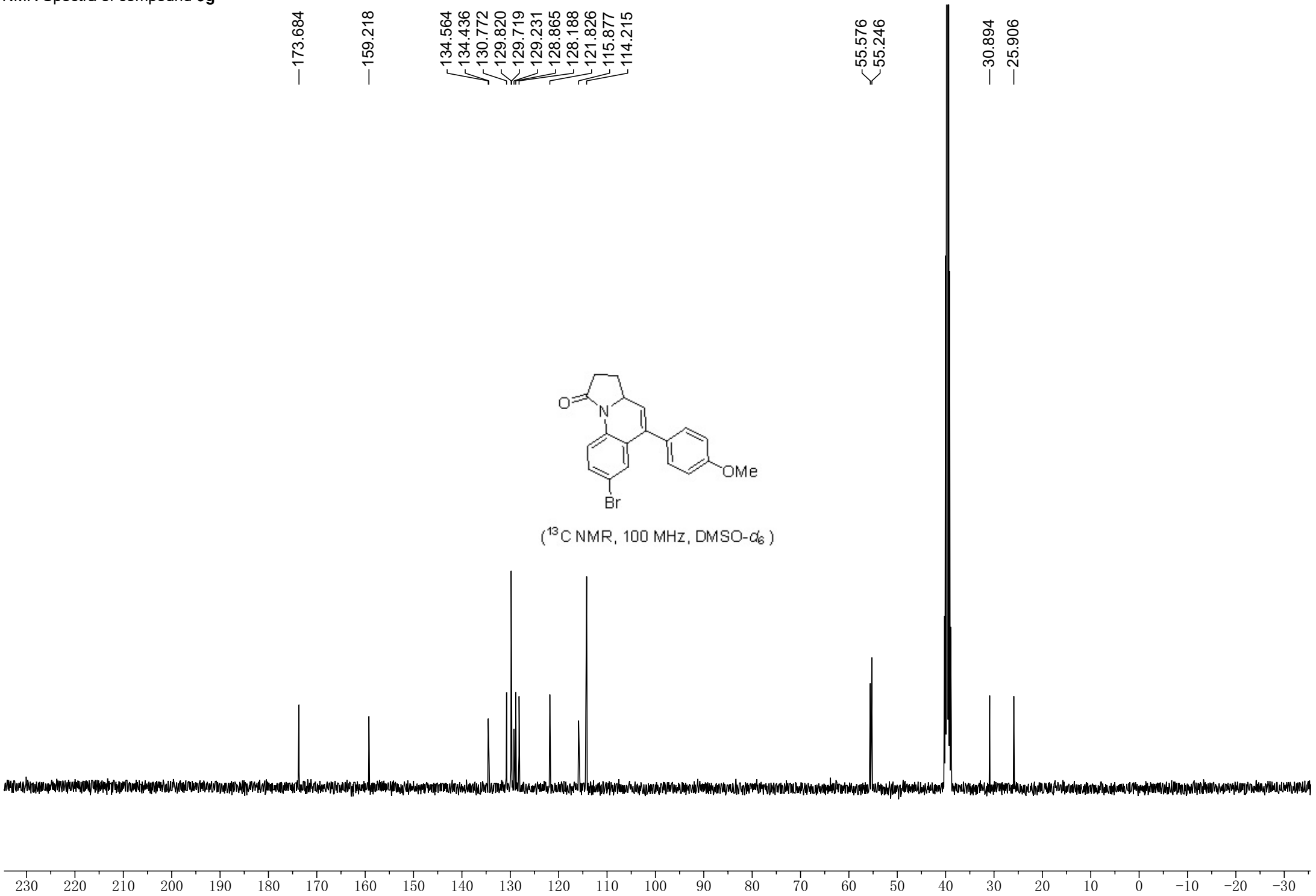
NMR Spectra of compound **9f**



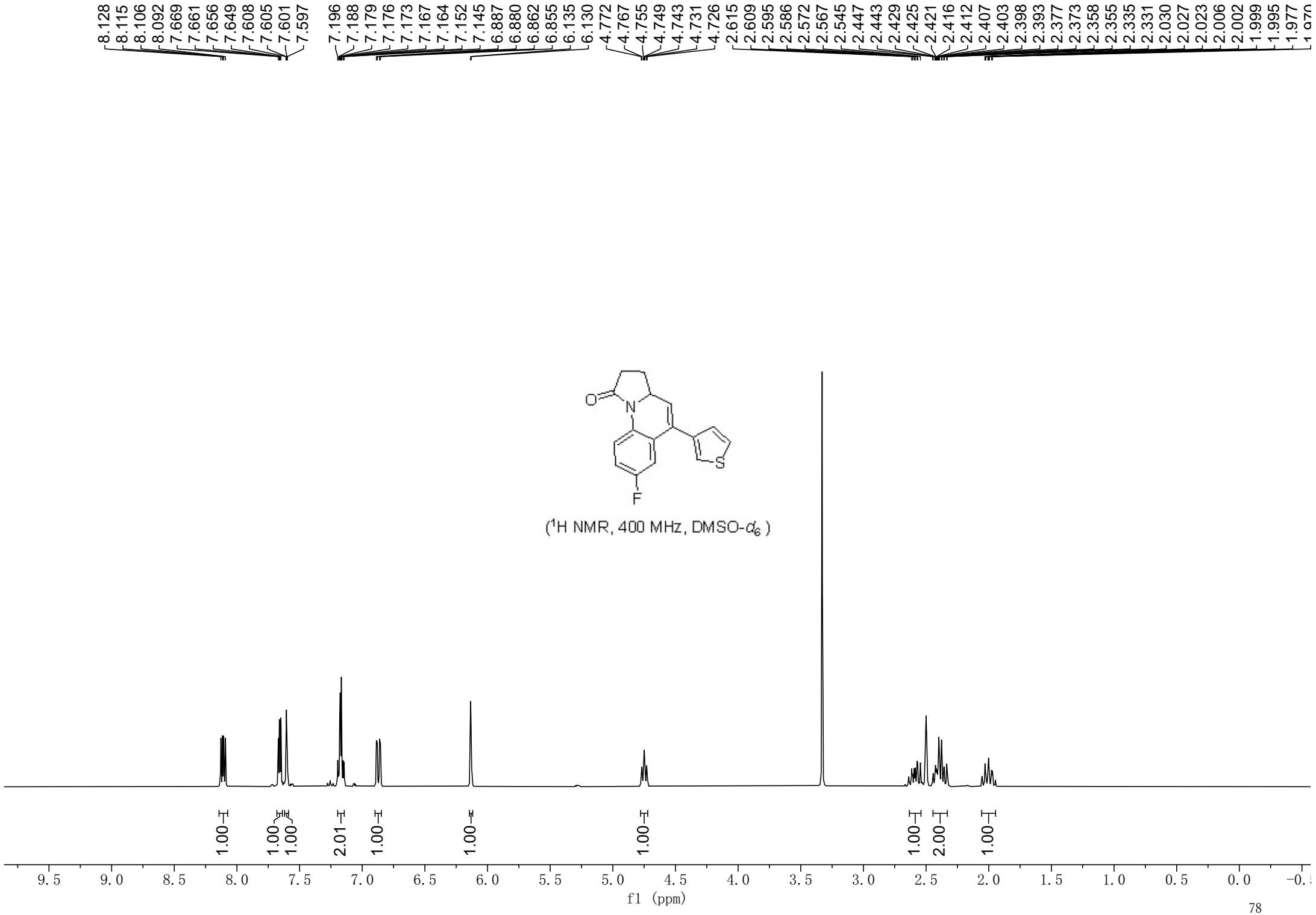
NMR Spectra of compound **9g**



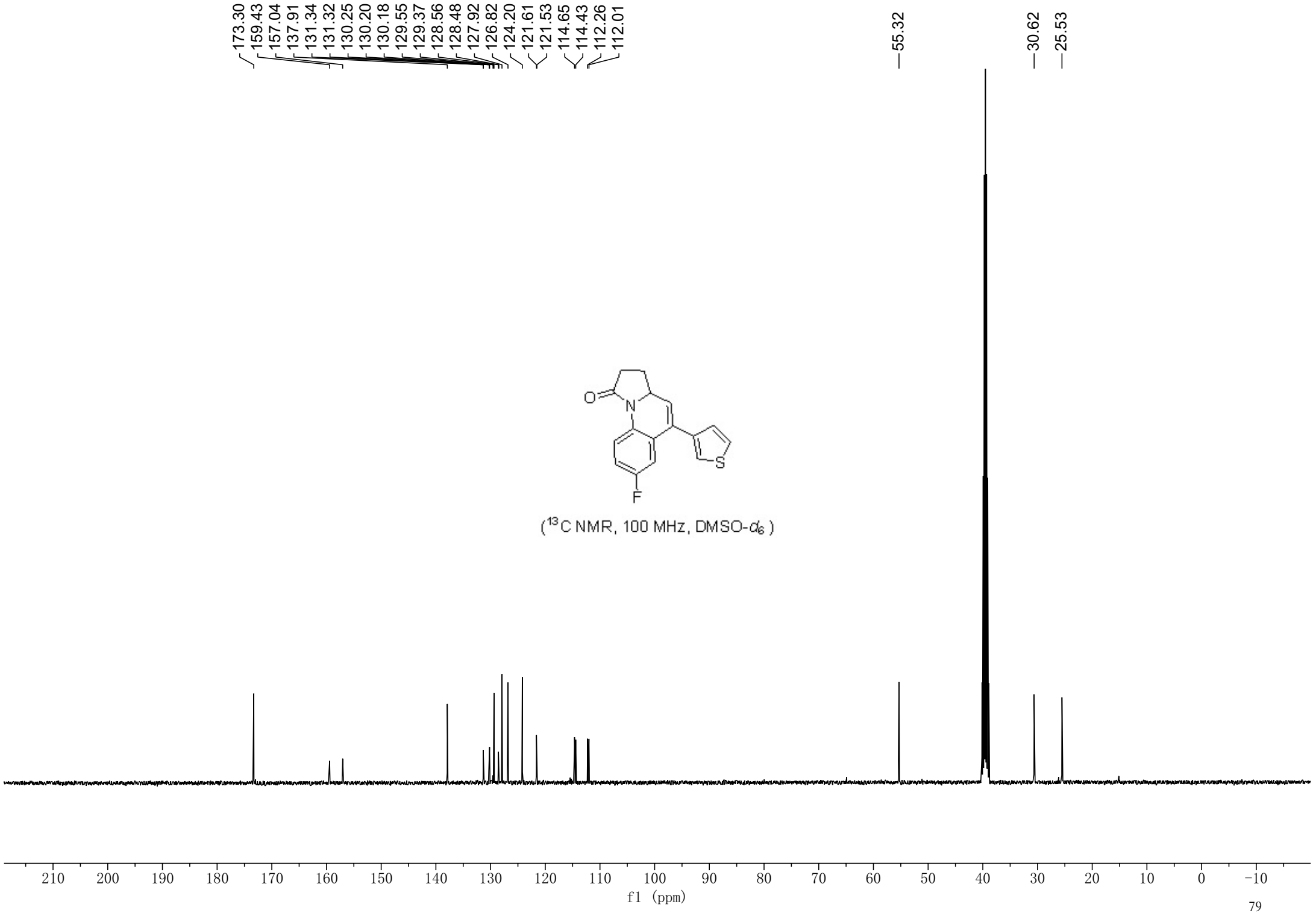
NMR Spectra of compound **9g**



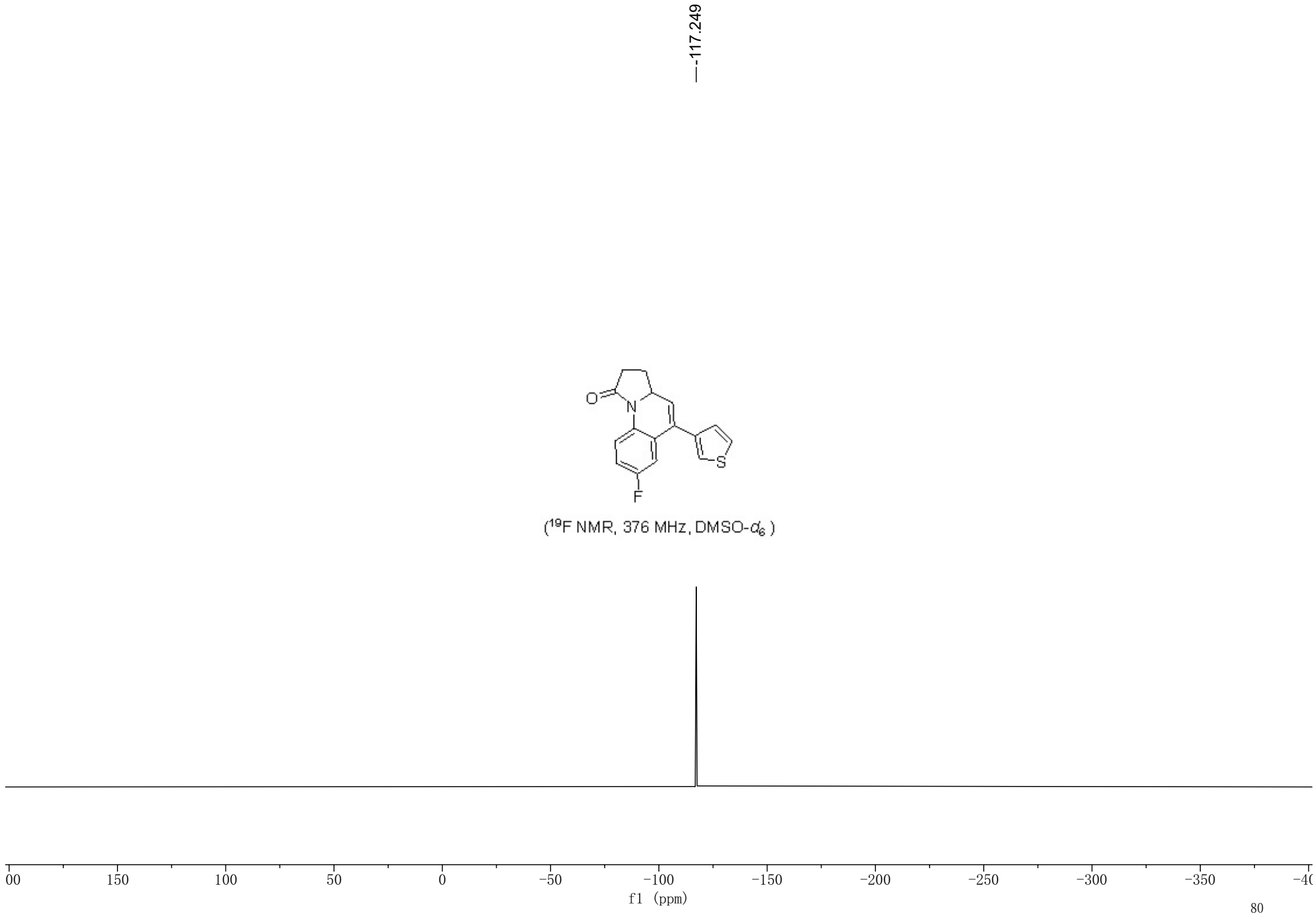
NMR Spectra of compound **9h**



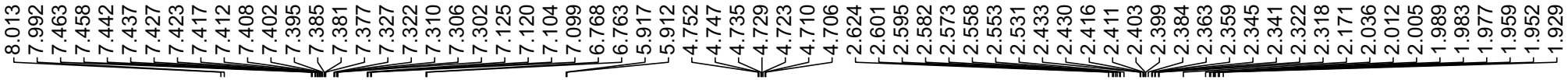
NMR Spectra of compound **9h**

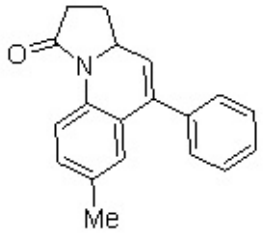


NMR Spectra of compound **9h**

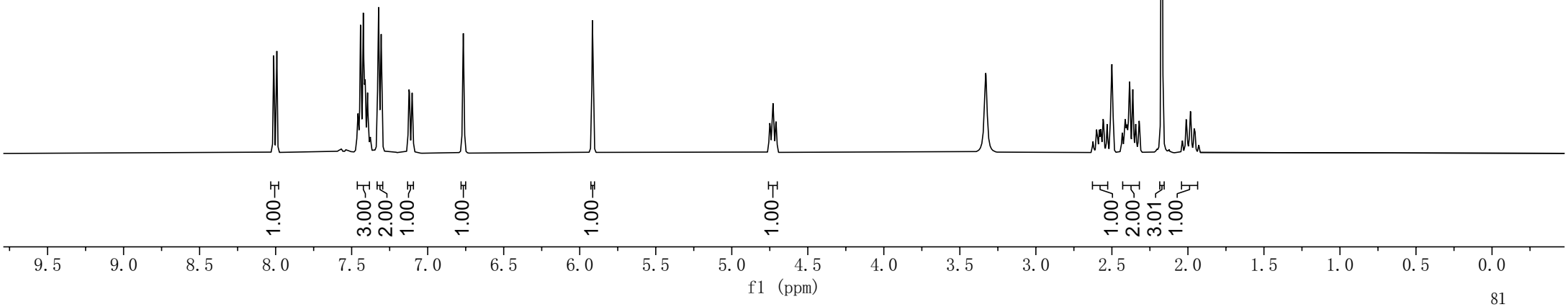


NMR Spectra of compound **9i**

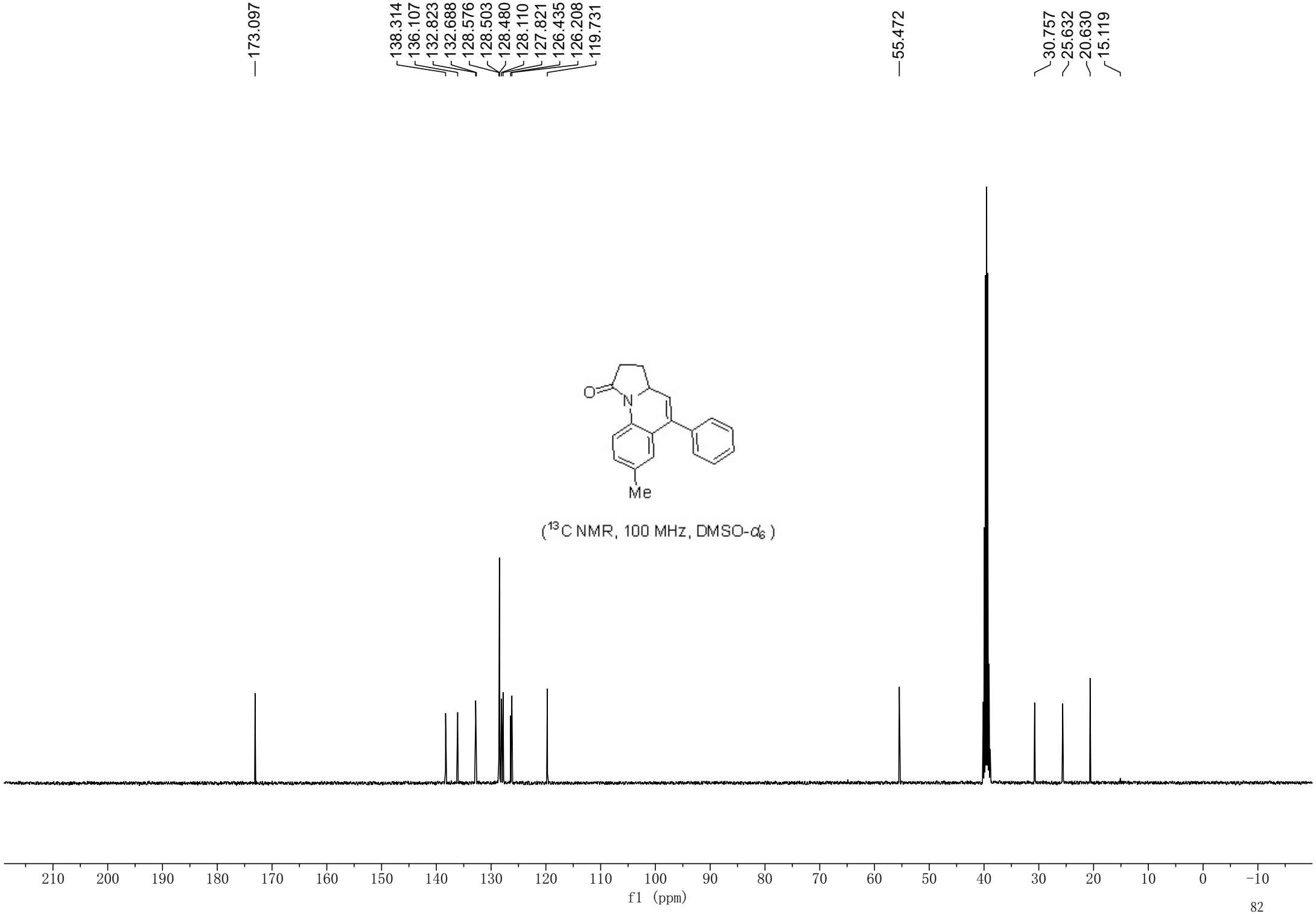




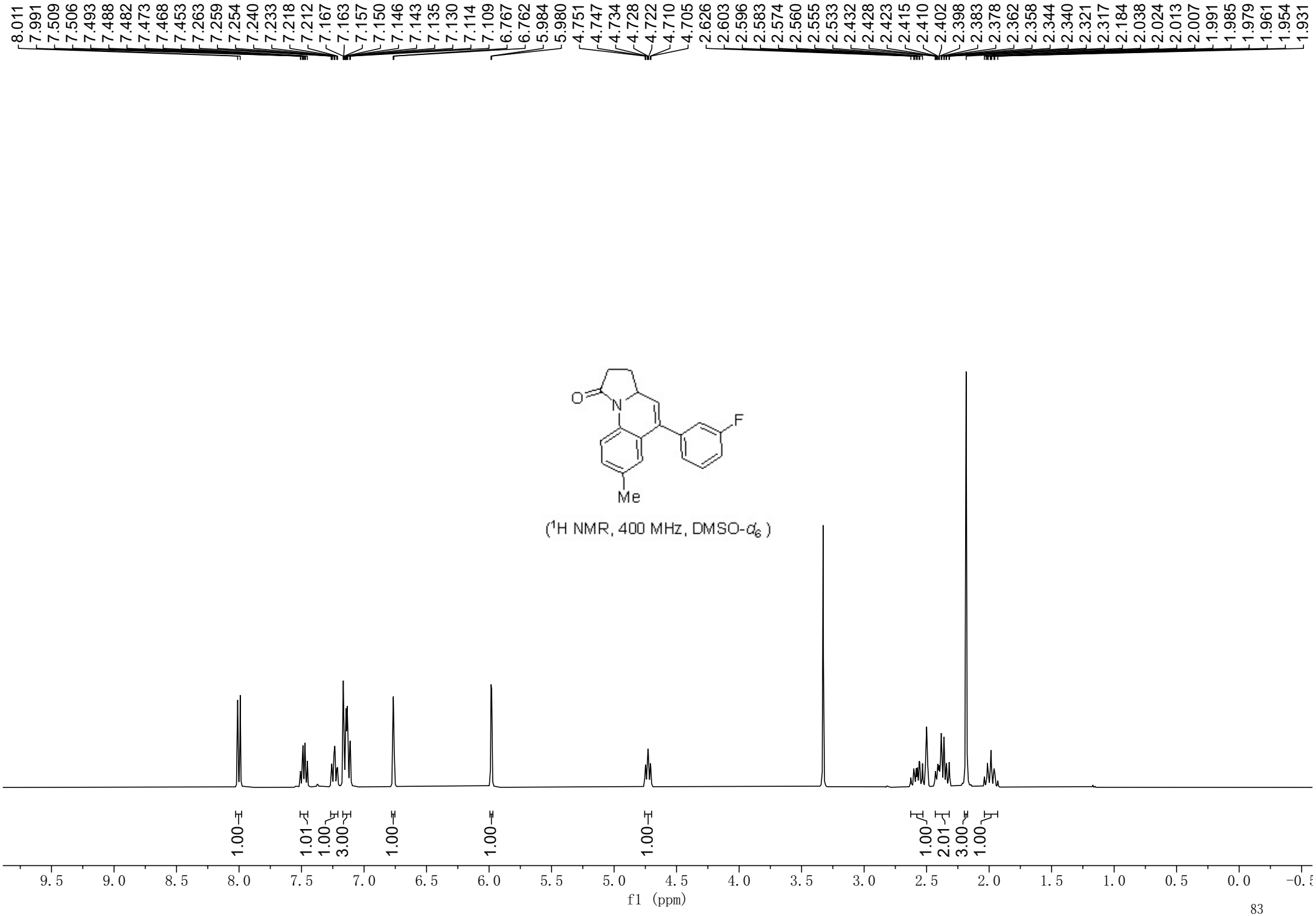
(^{1}H NMR, 400 MHz, DMSO-d_6)



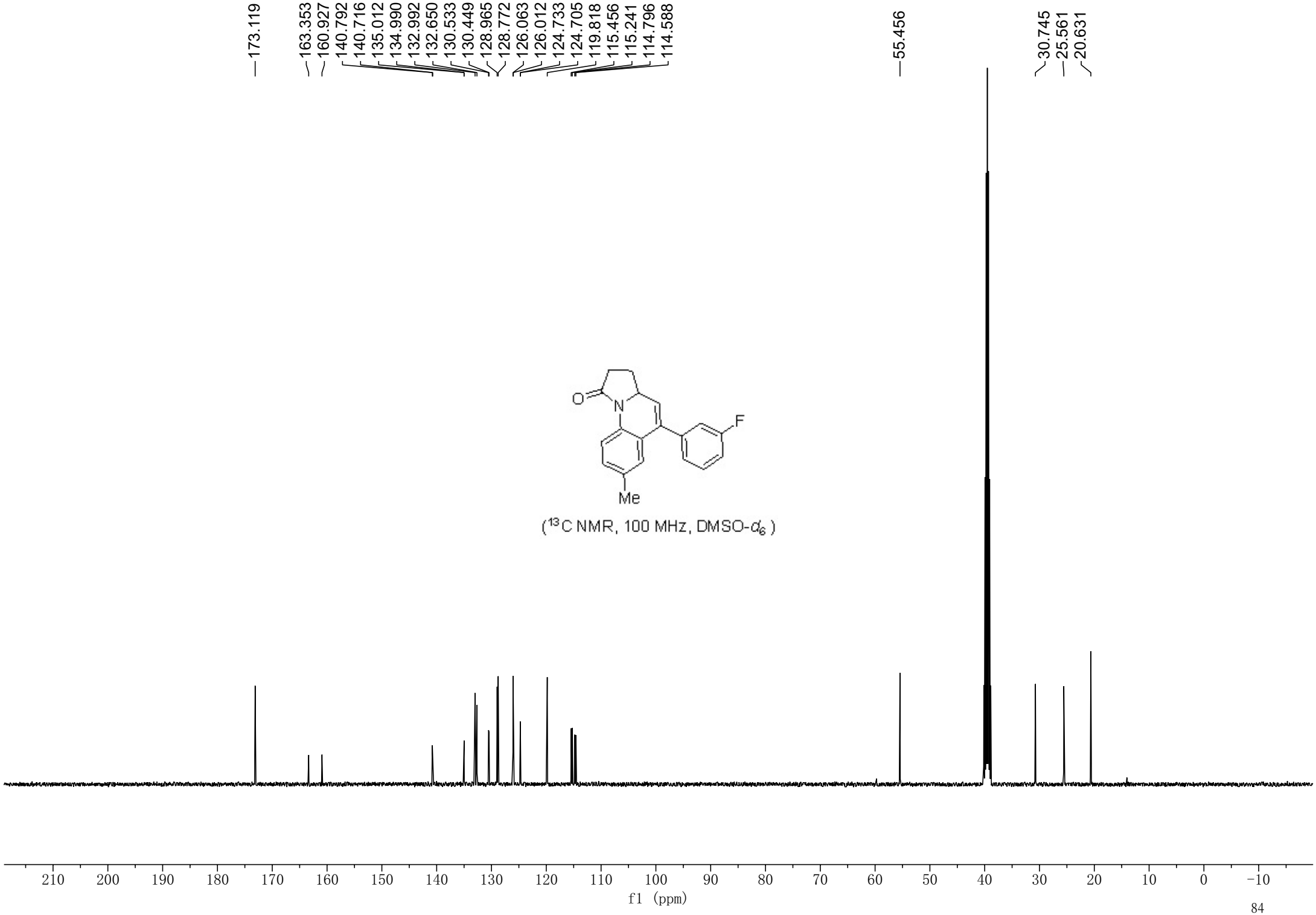
NMR Spectra of compound **9i**



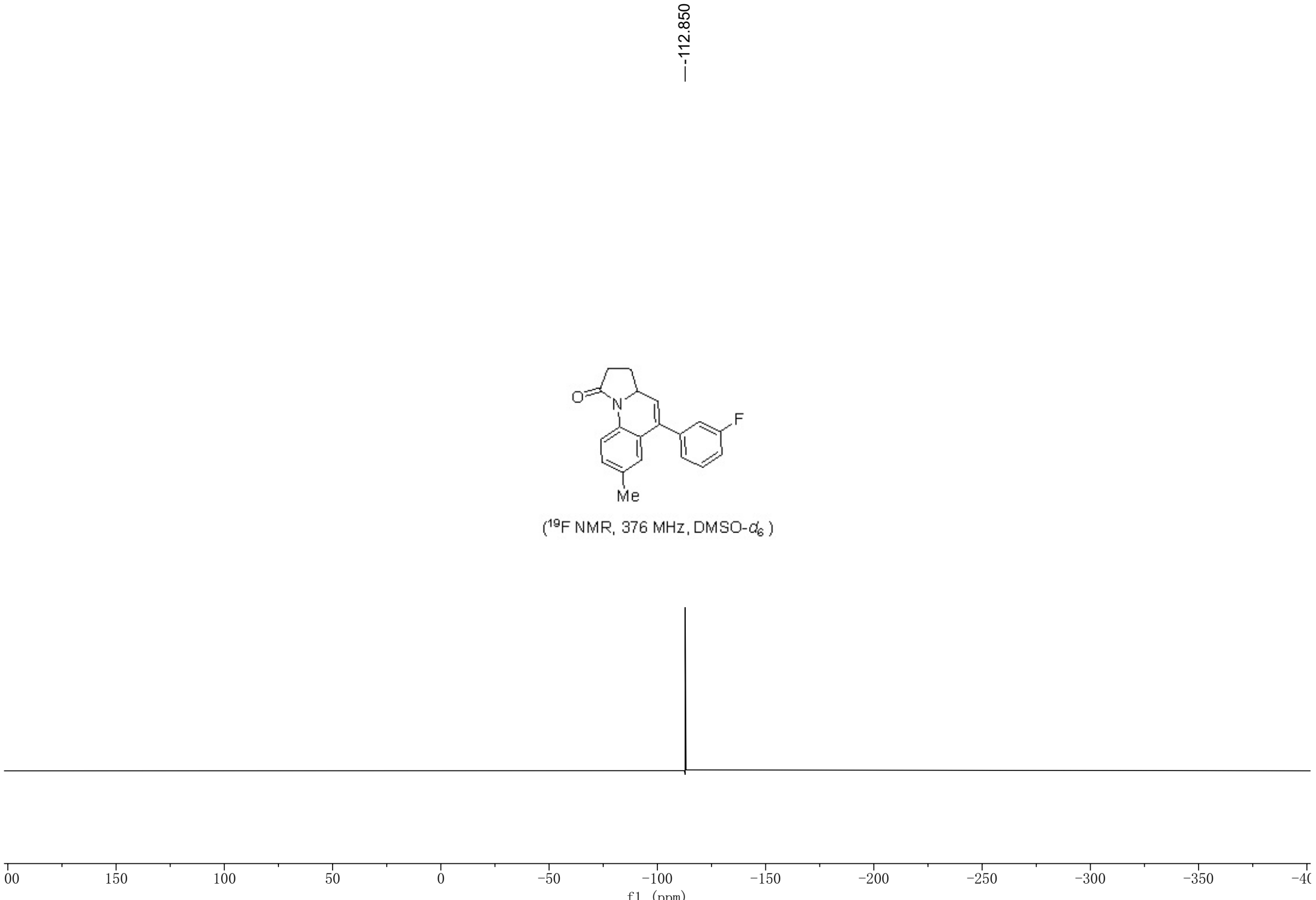
NMR Spectra of compound **9j**



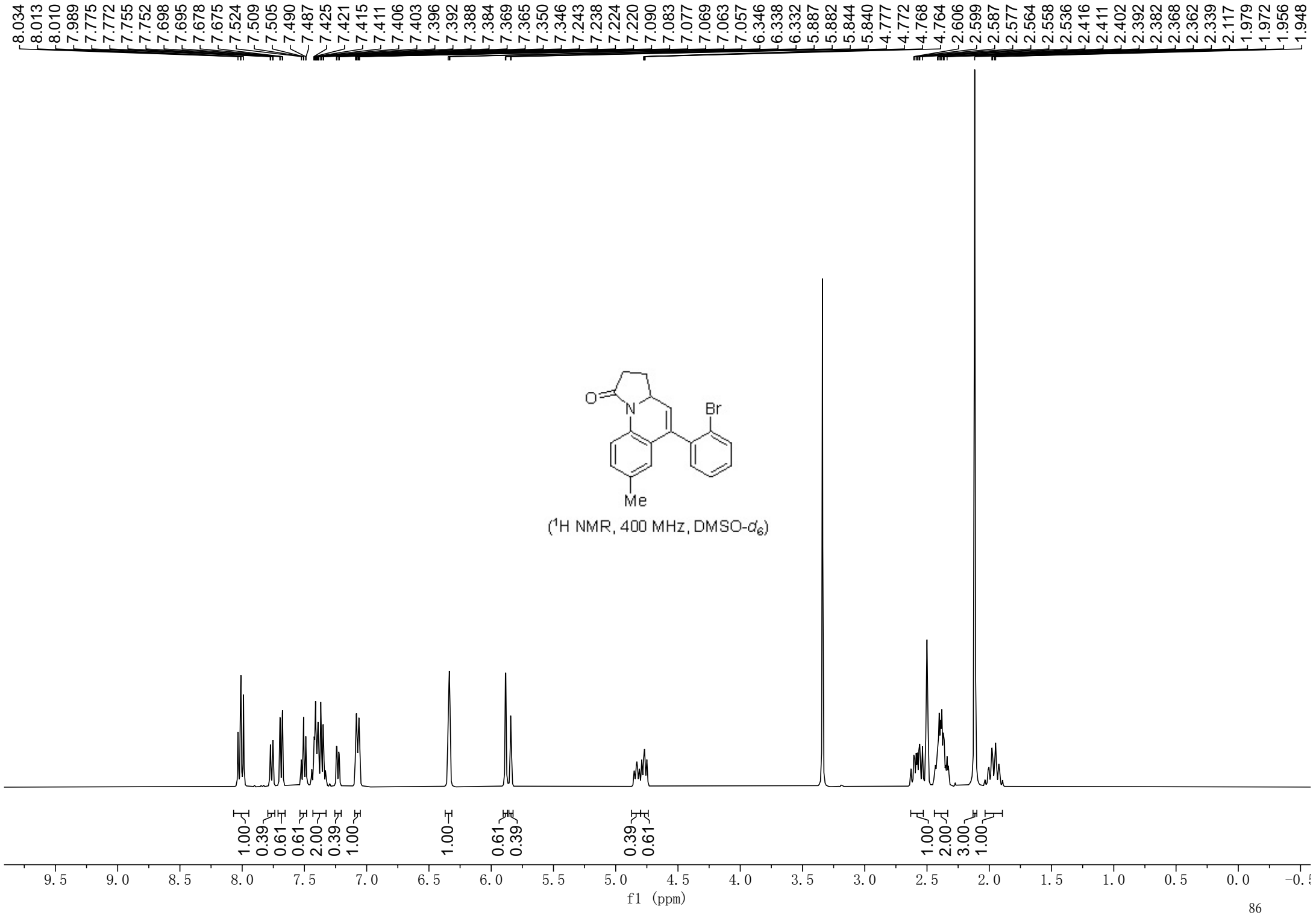
NMR Spectra of compound **9j**



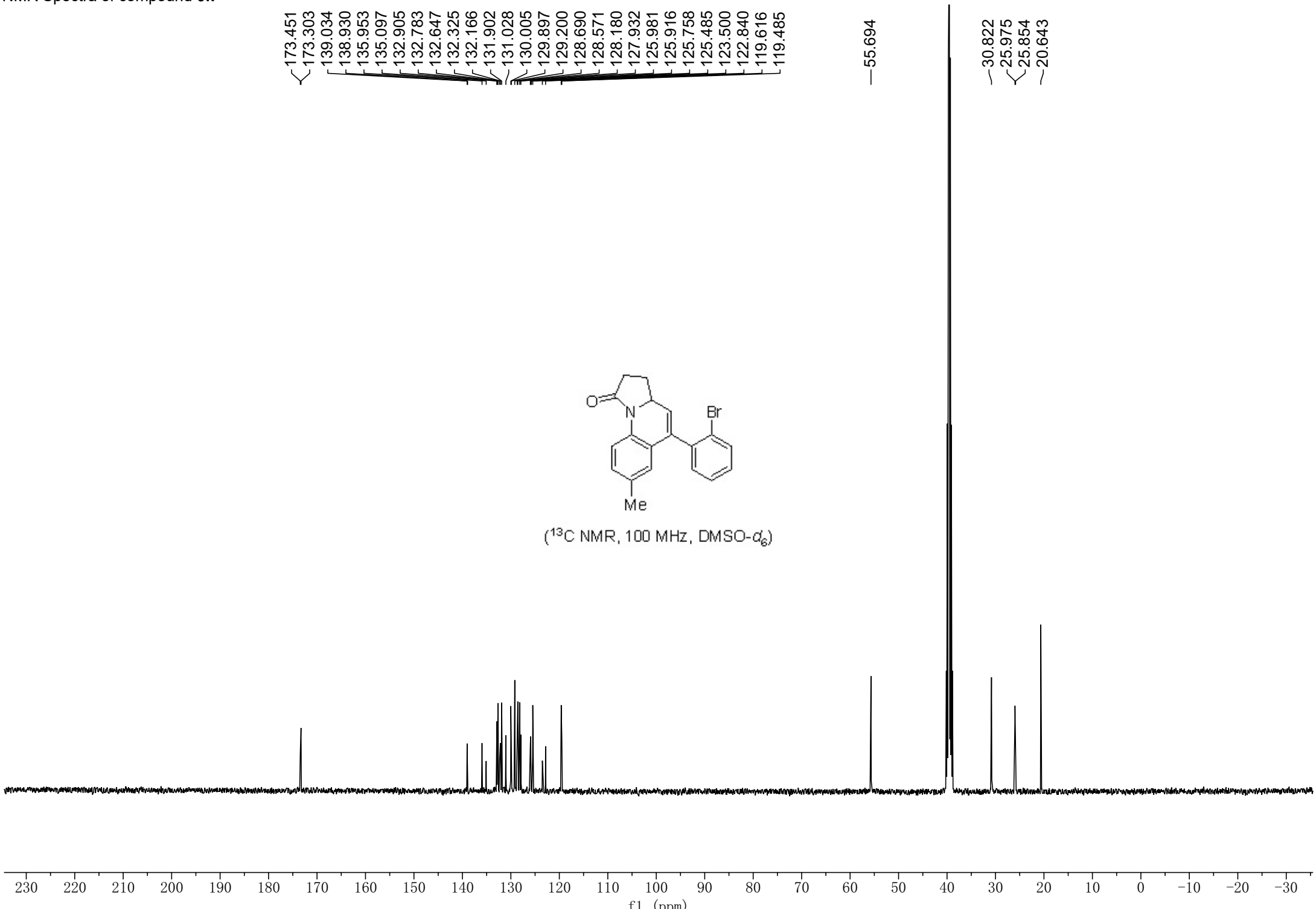
NMR Spectra of compound **9j**



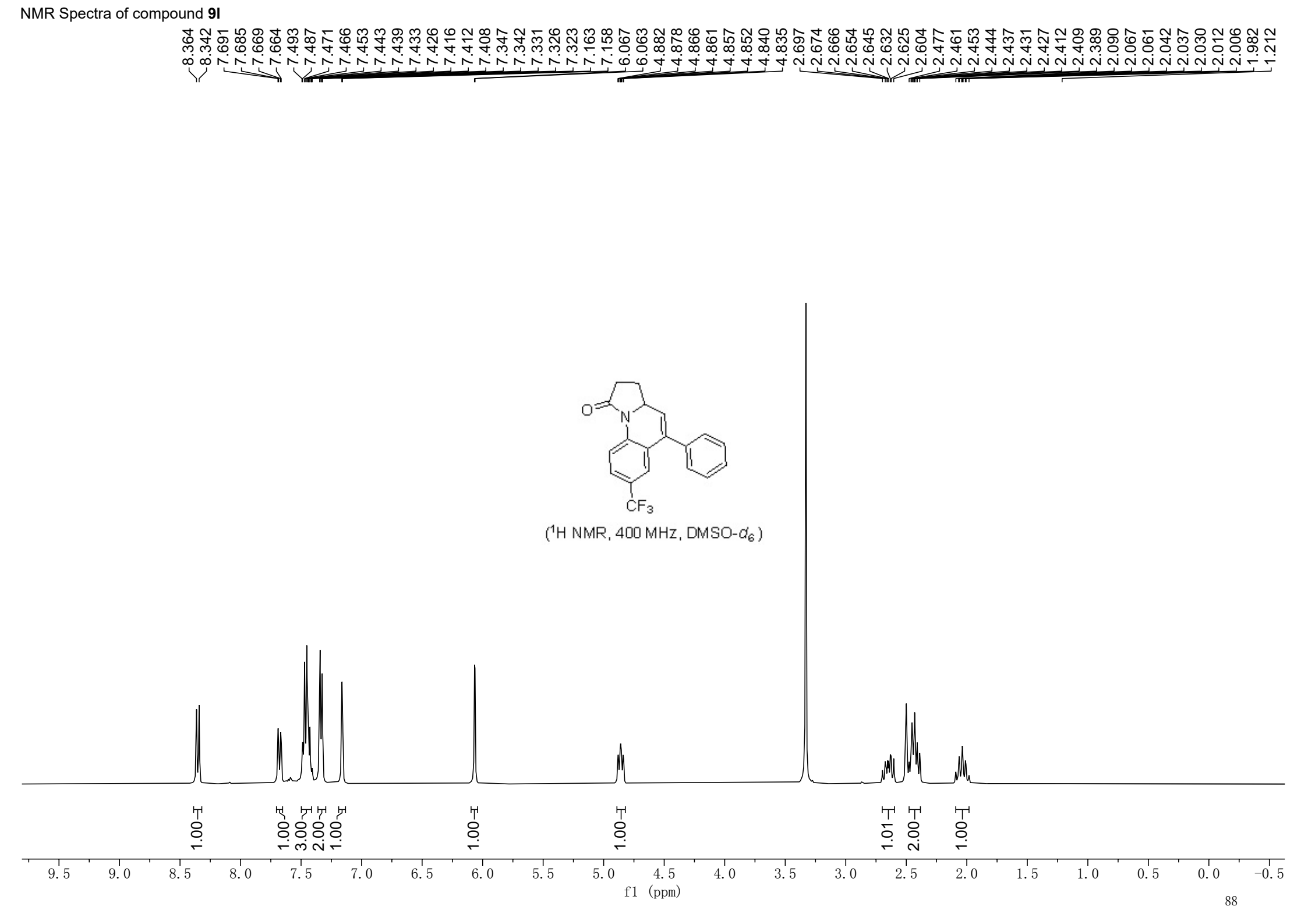
NMR Spectra of compound **9k**



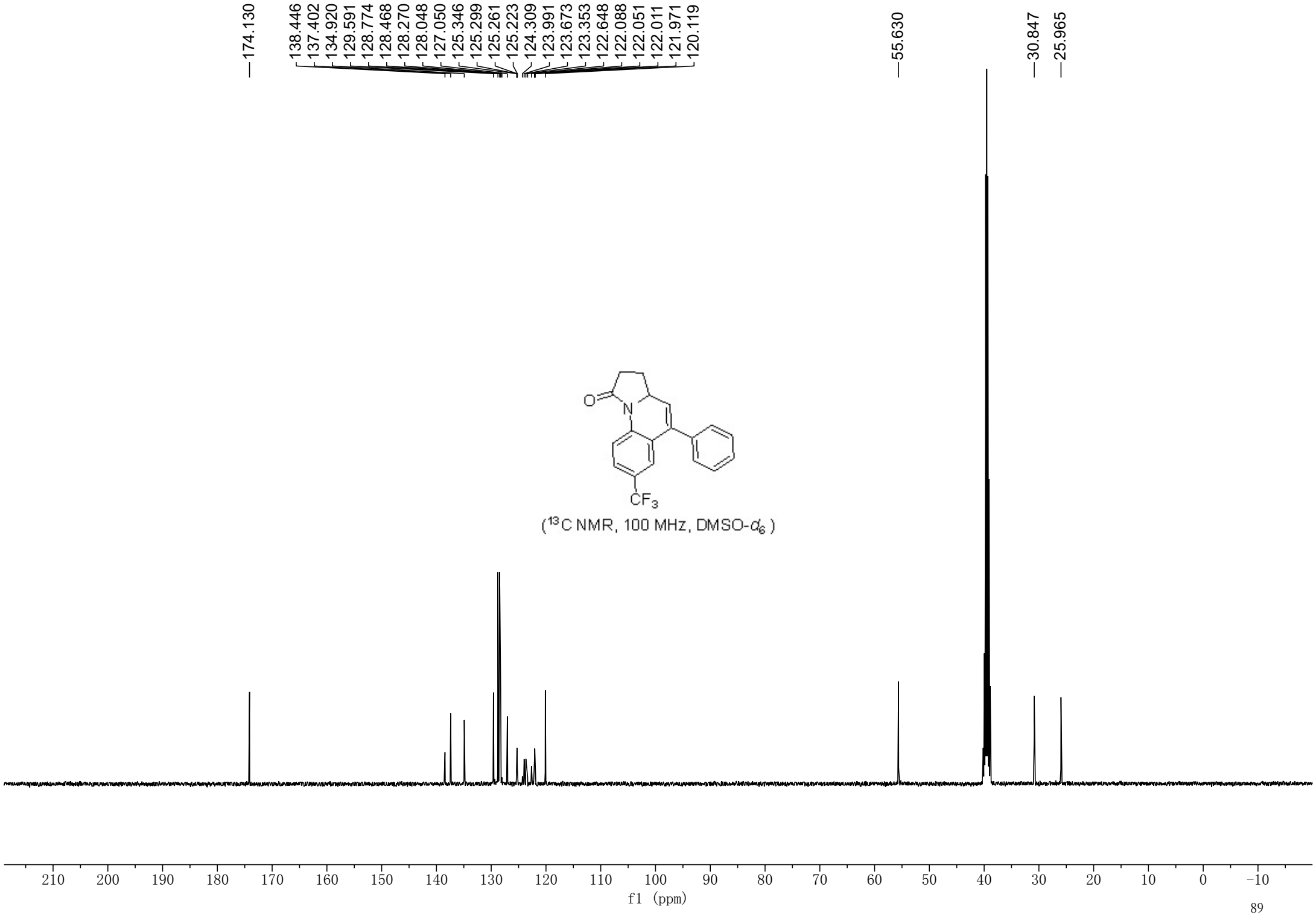
NMR Spectra of compound **9k**



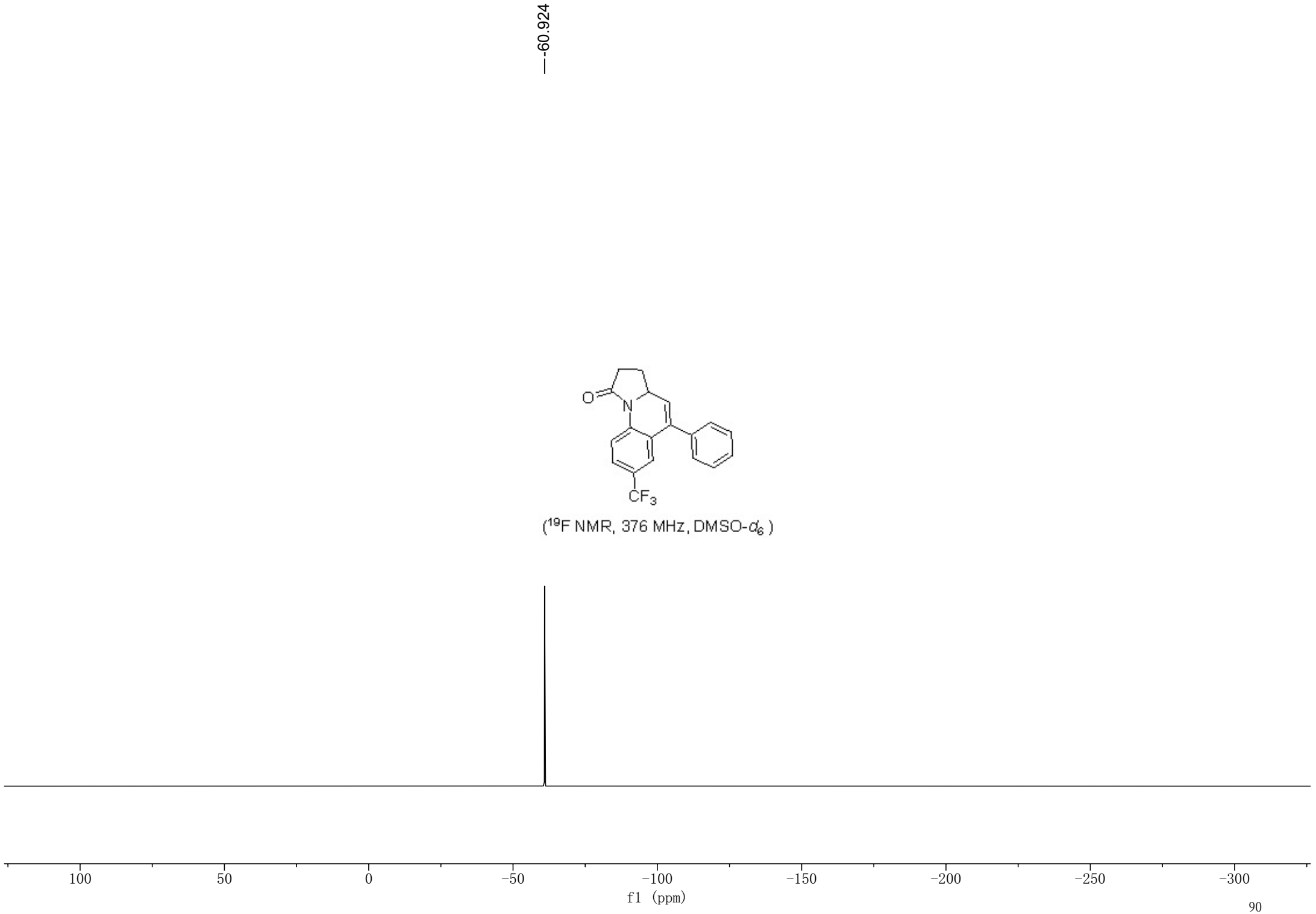
NMR Spectra of compound **9l**



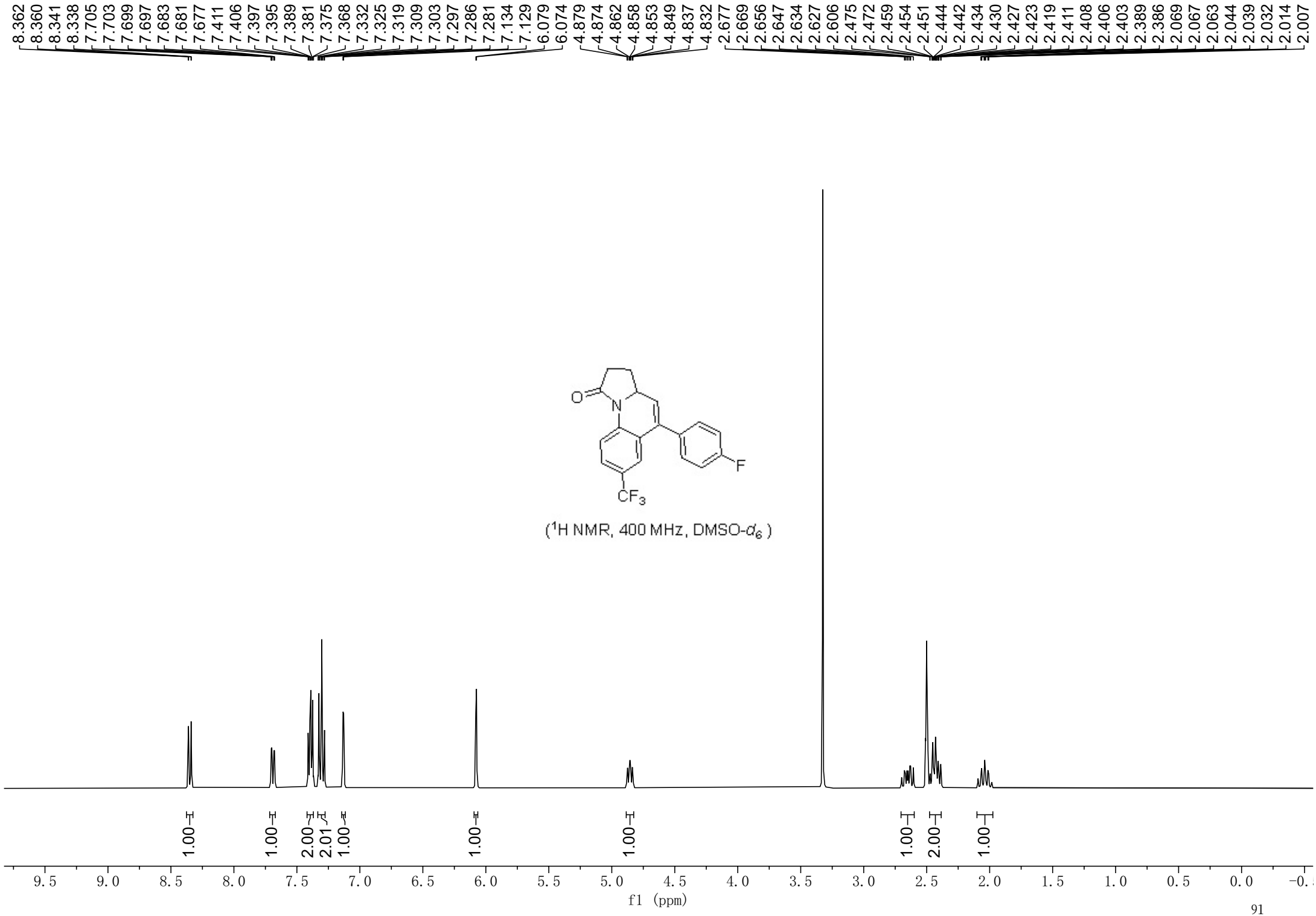
NMR Spectra of compound **9l**



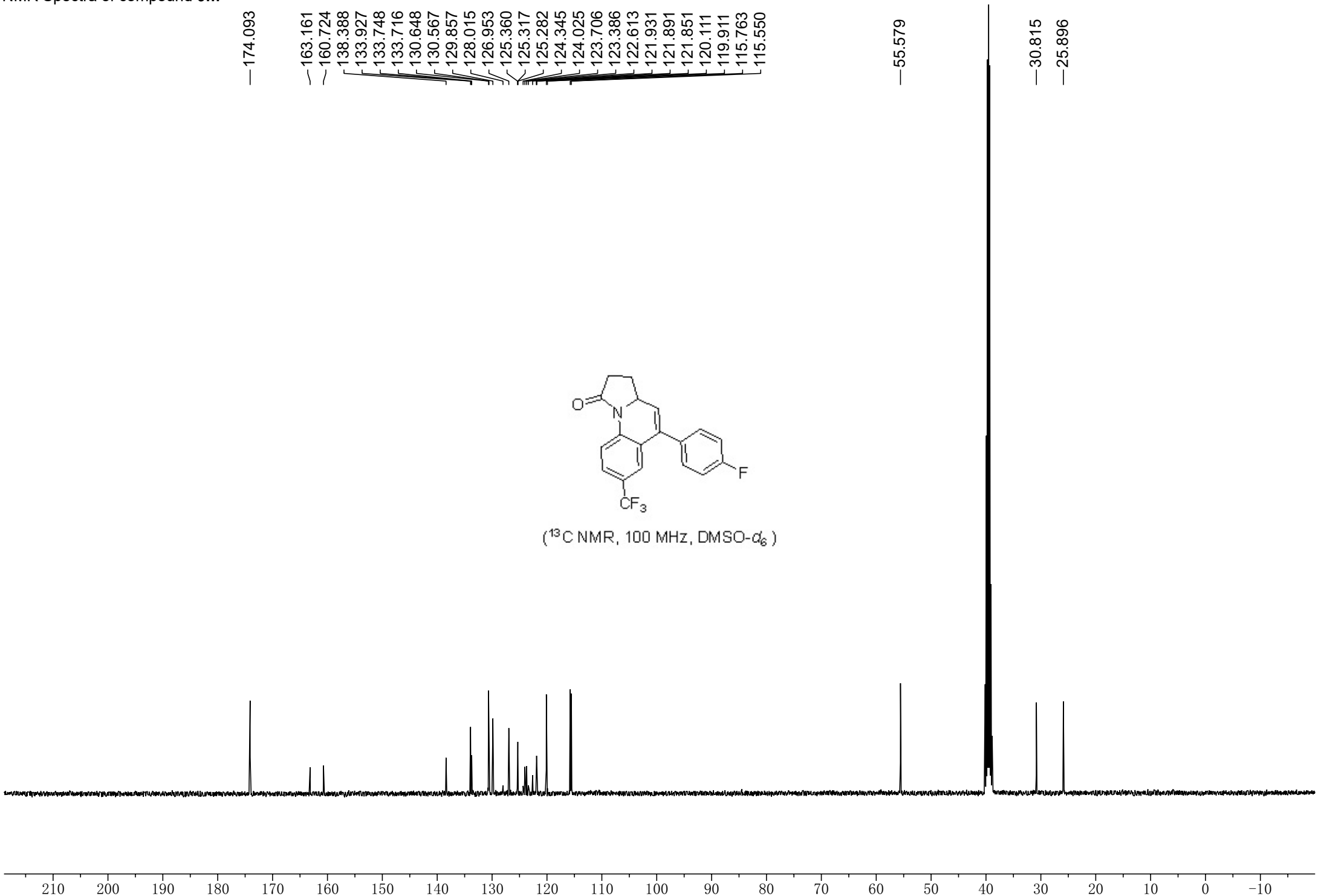
NMR Spectra of compound **9l**



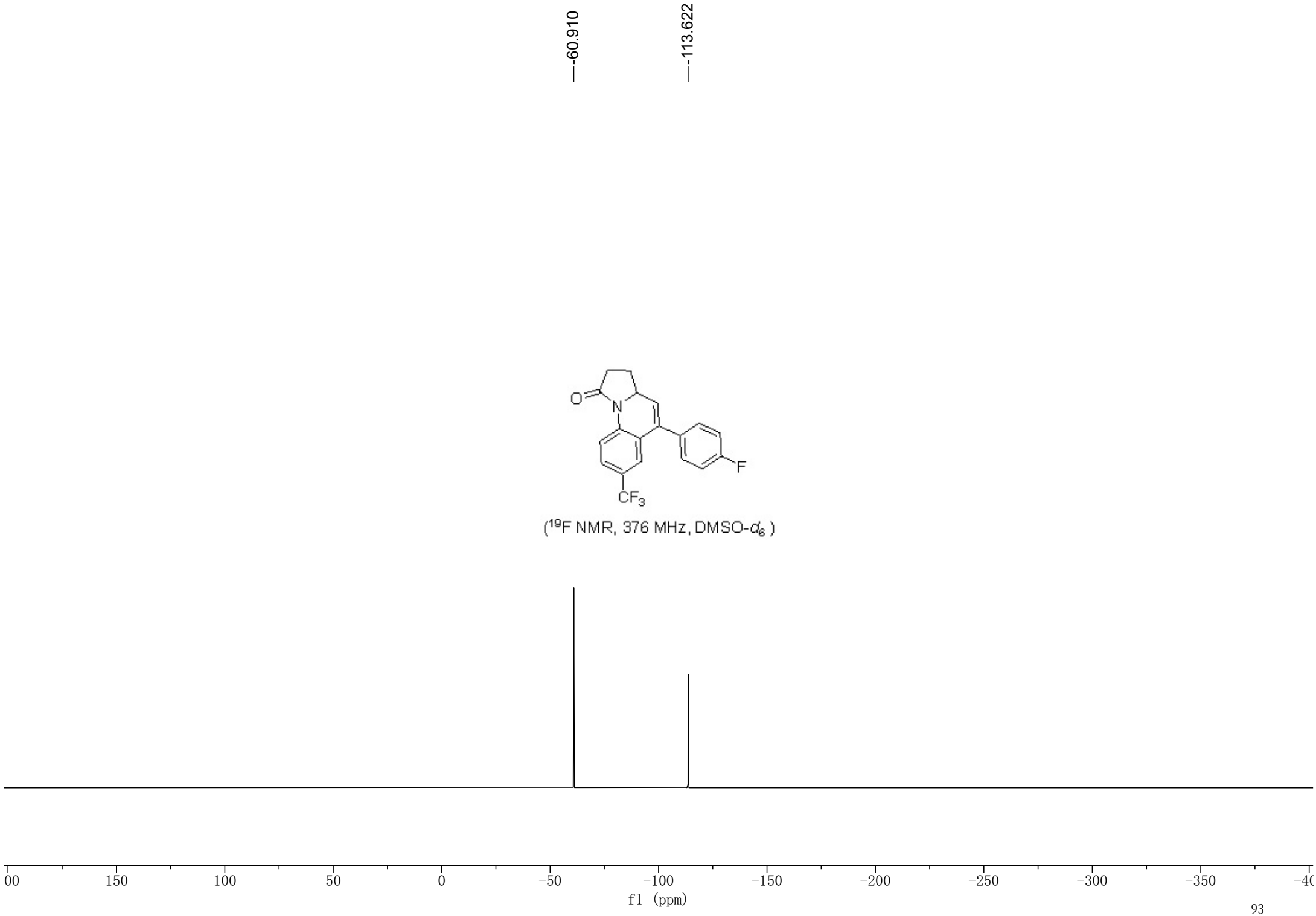
NMR Spectra of compound **9m**



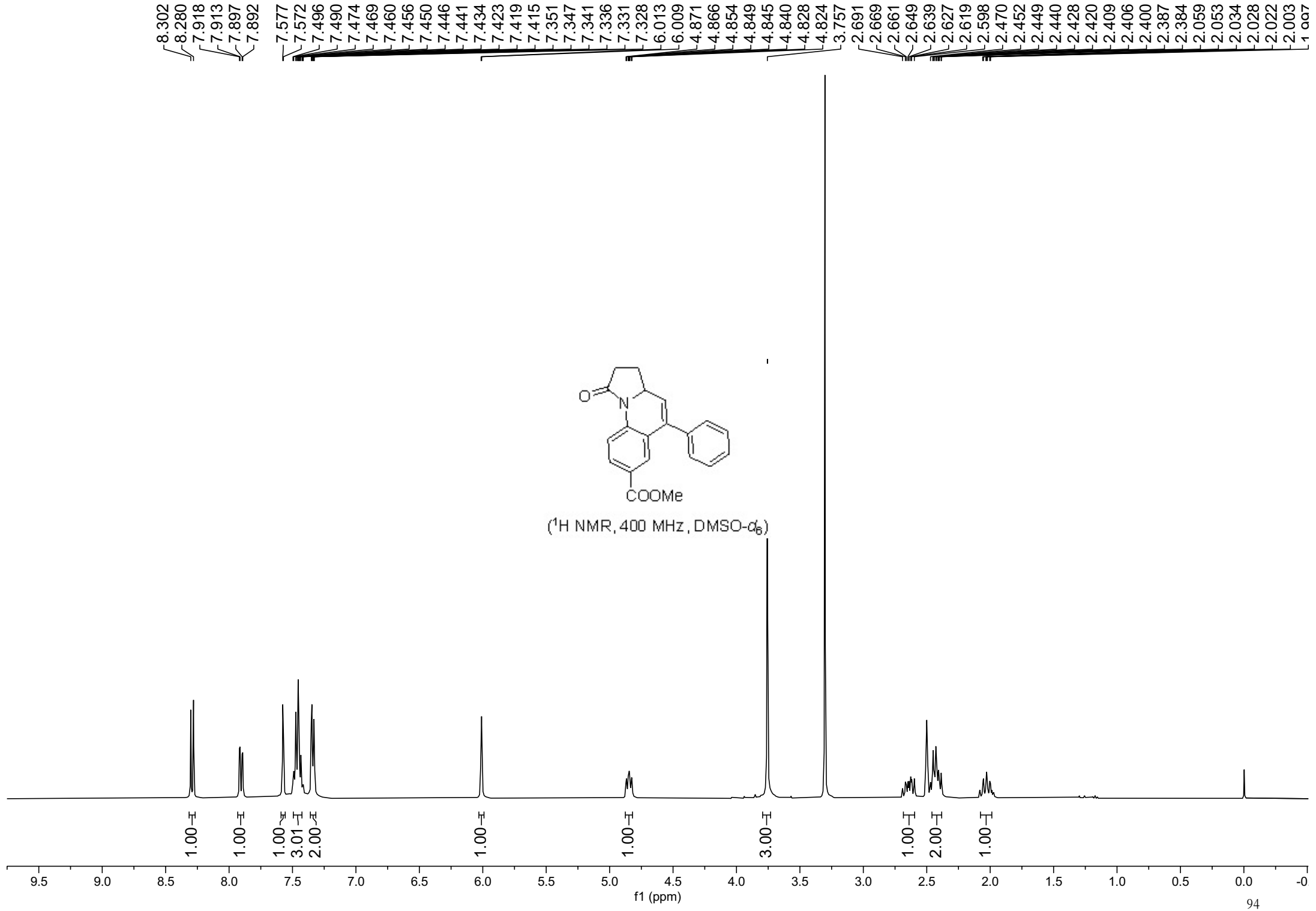
NMR Spectra of compound **9m**



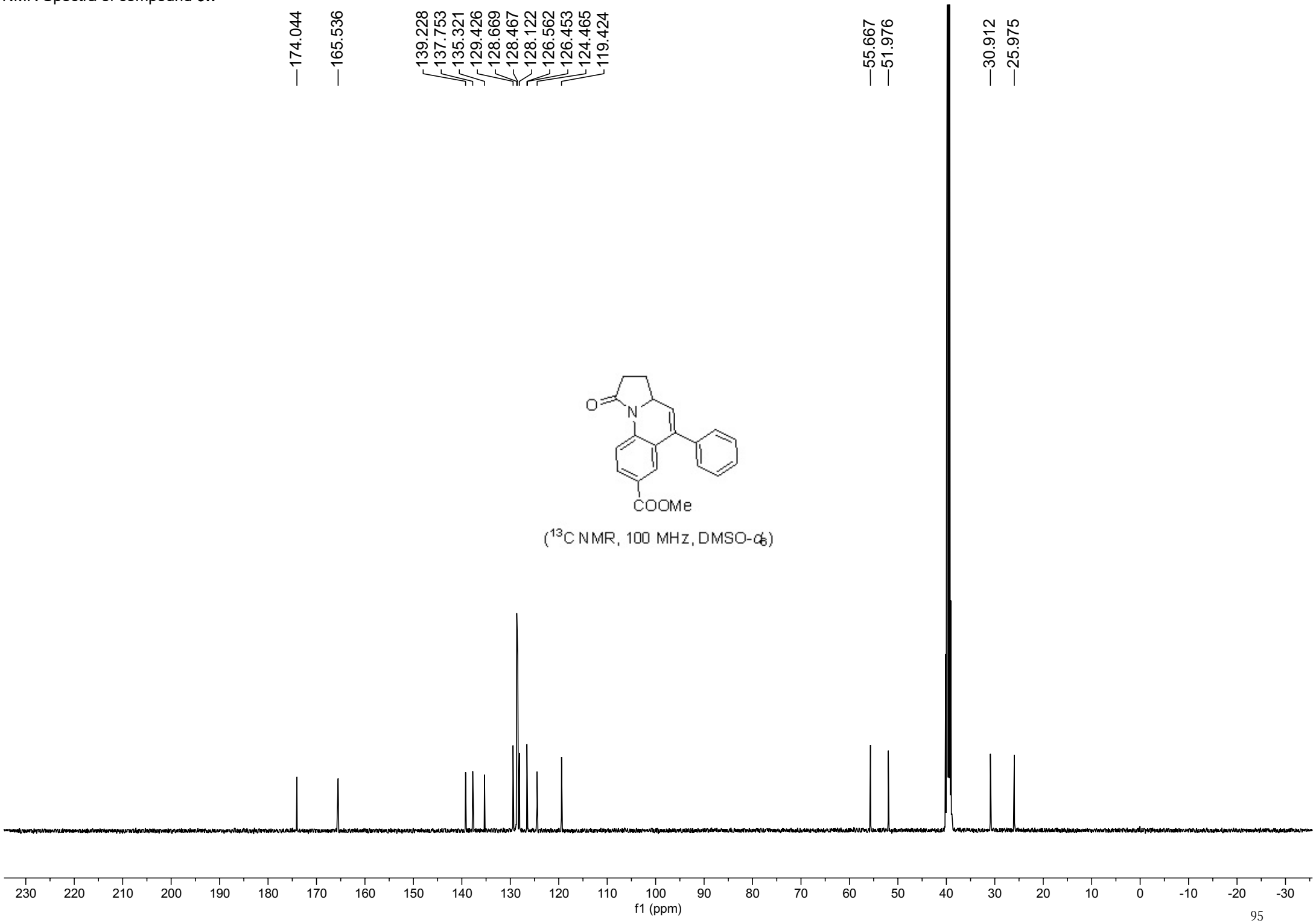
NMR Spectra of compound **9m**



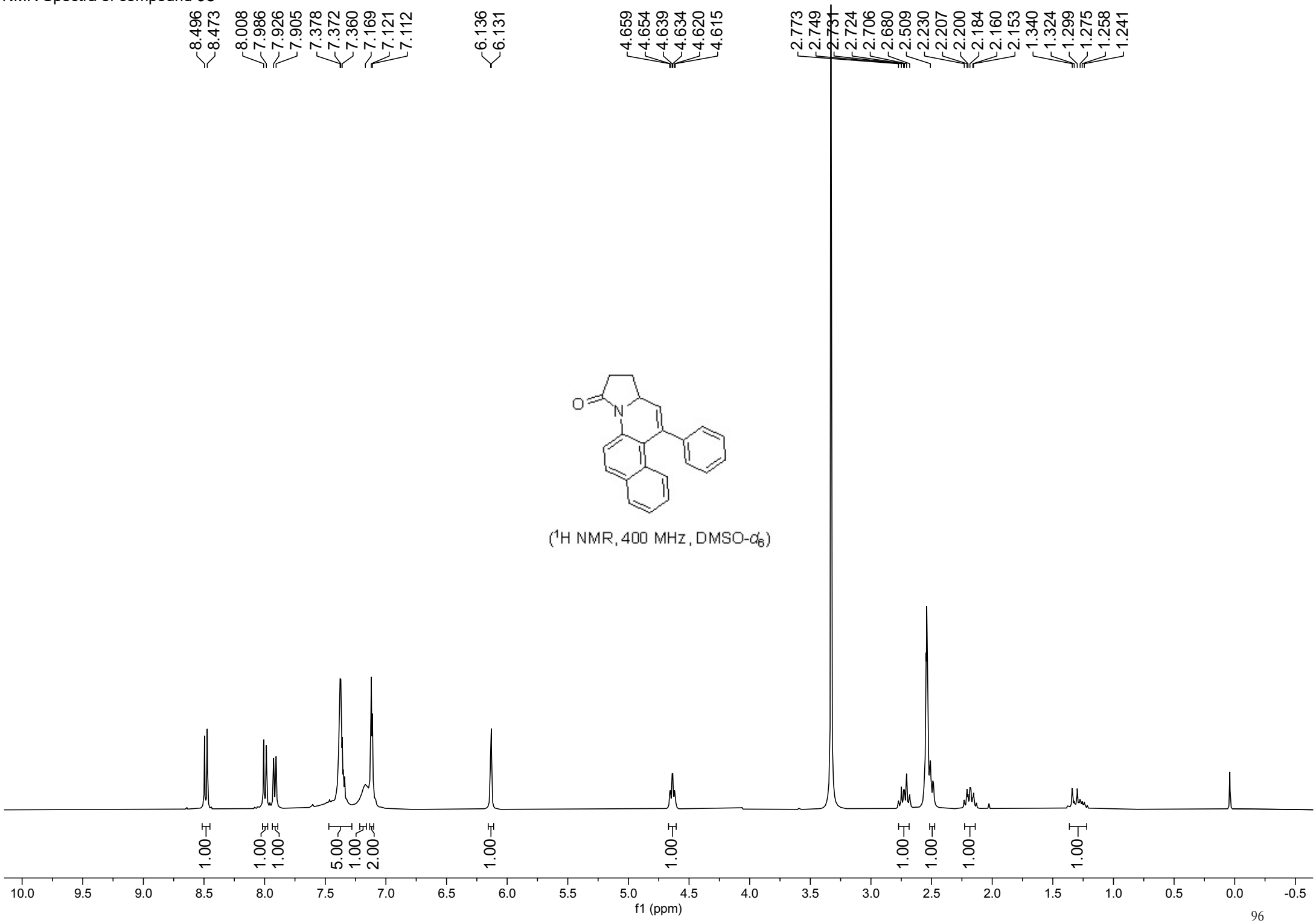
NMR Spectra of compound **9n**



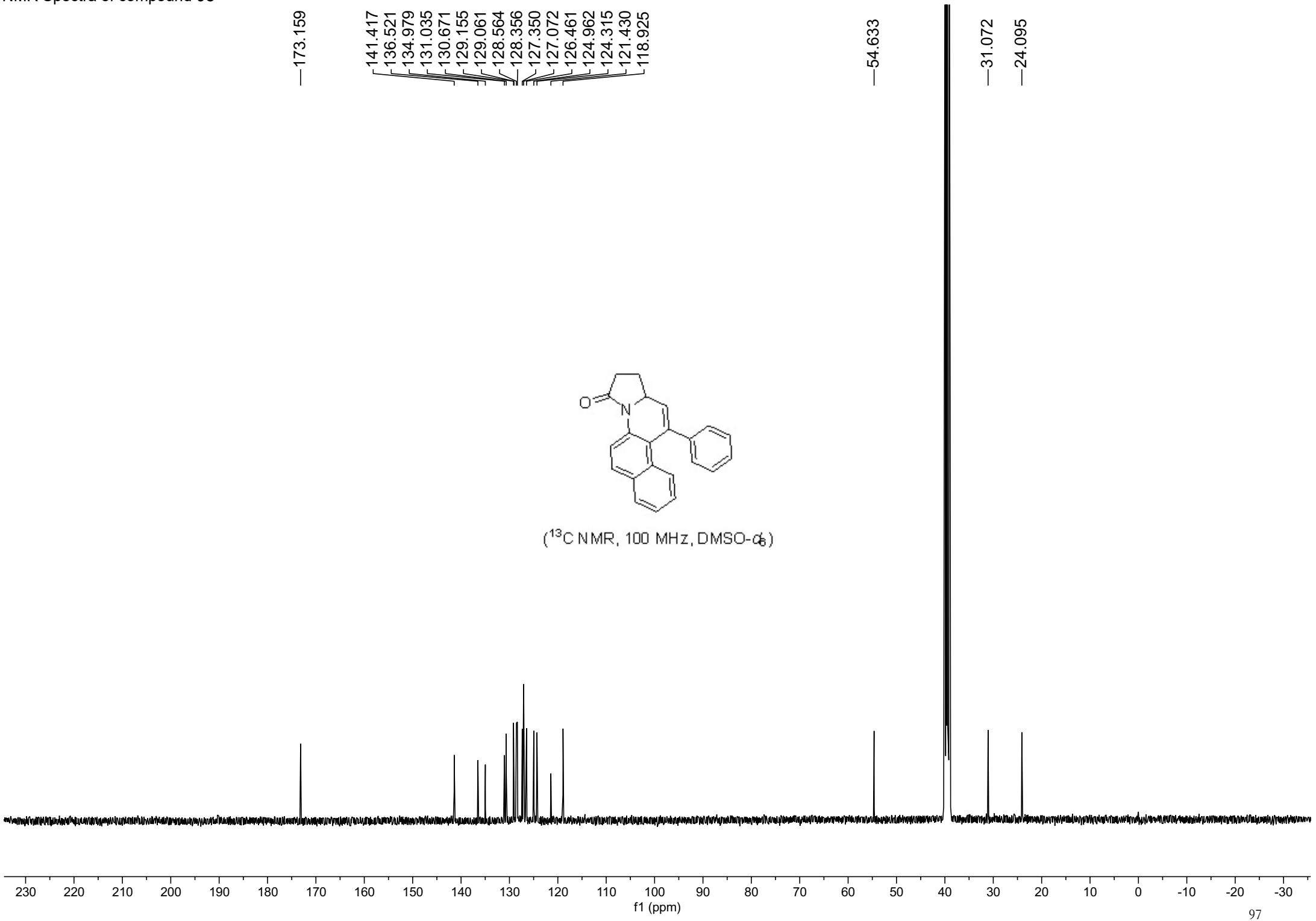
NMR Spectra of compound **9n**



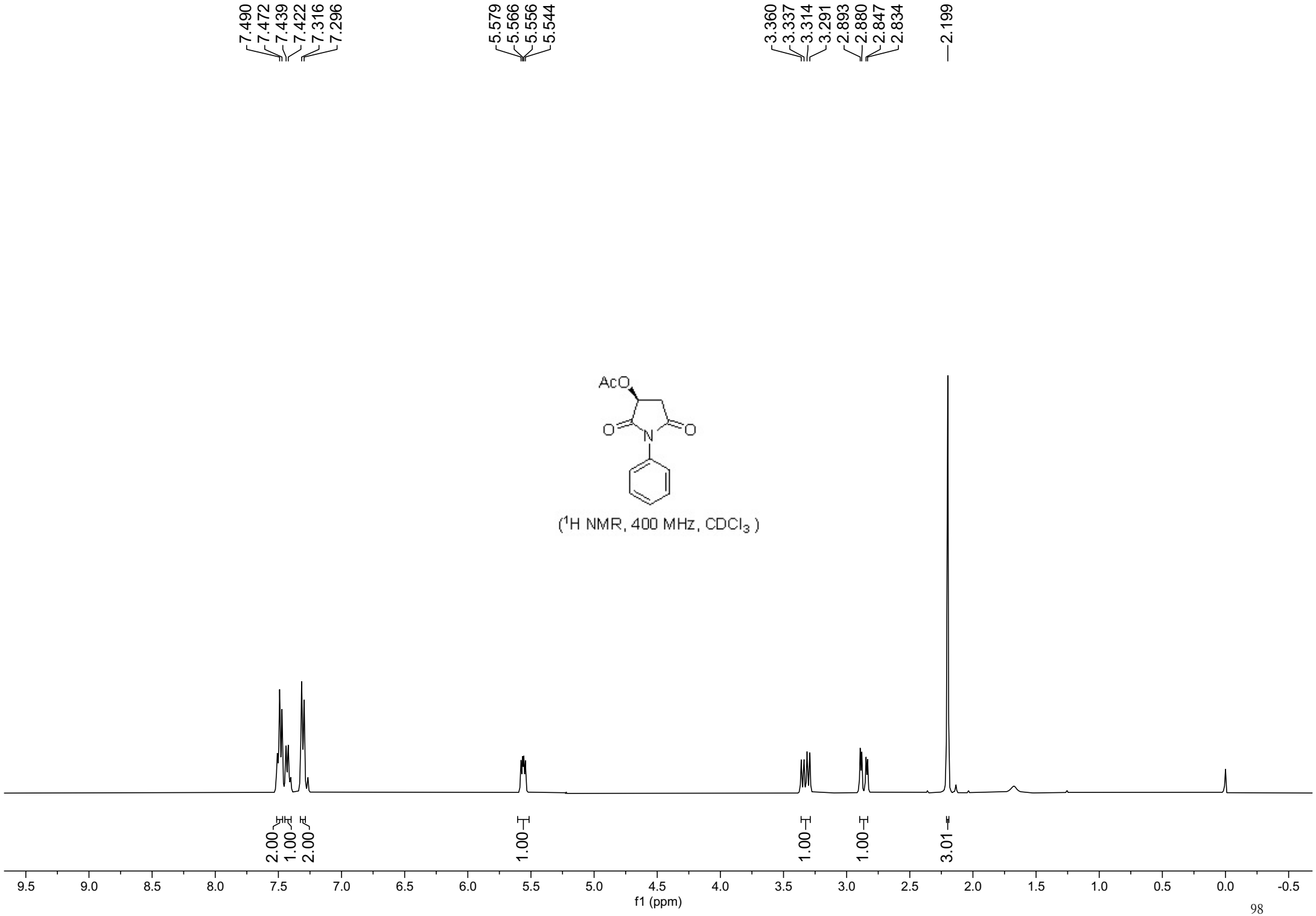
NMR Spectra of compound **9o**



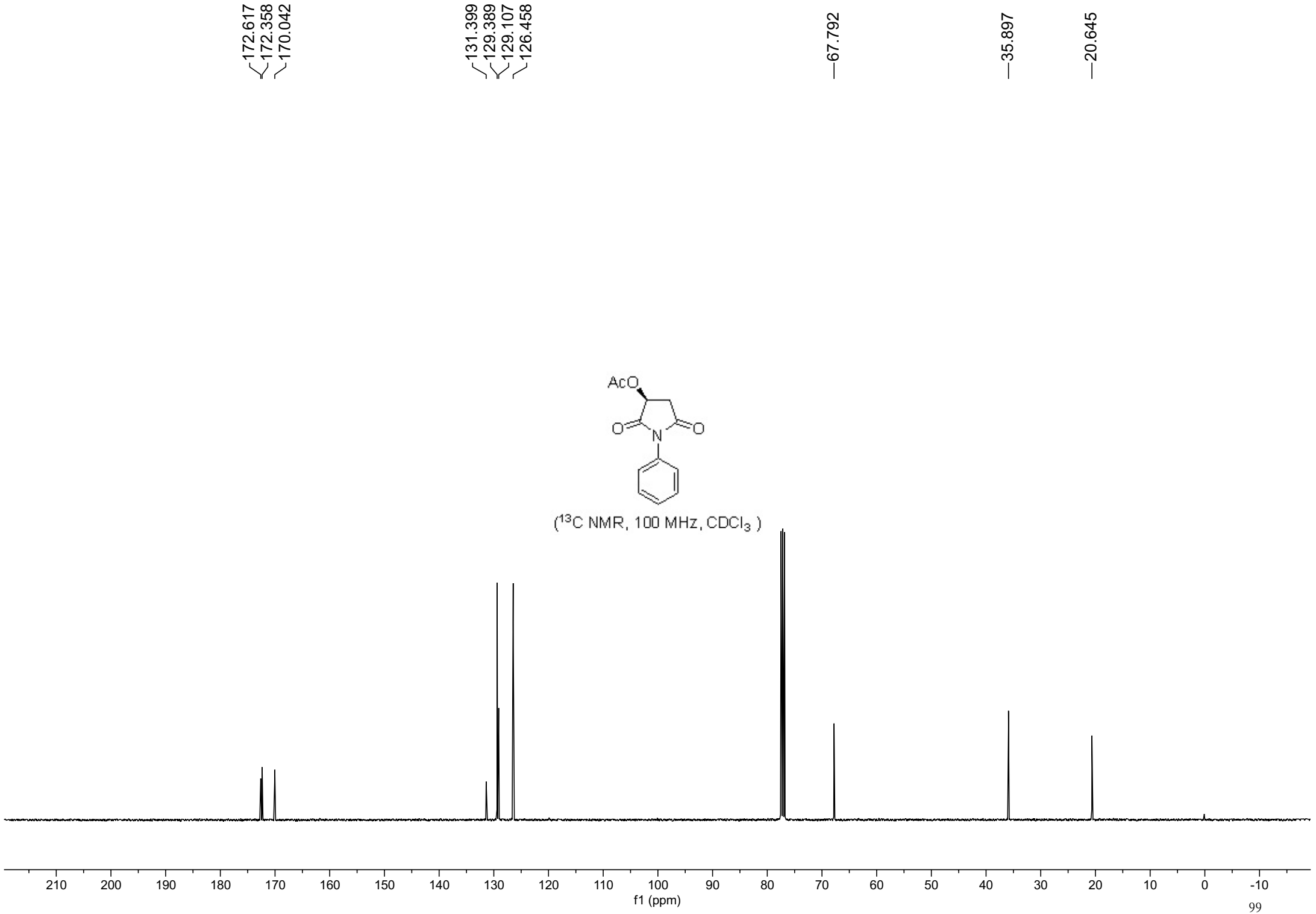
NMR Spectra of compound **9o**



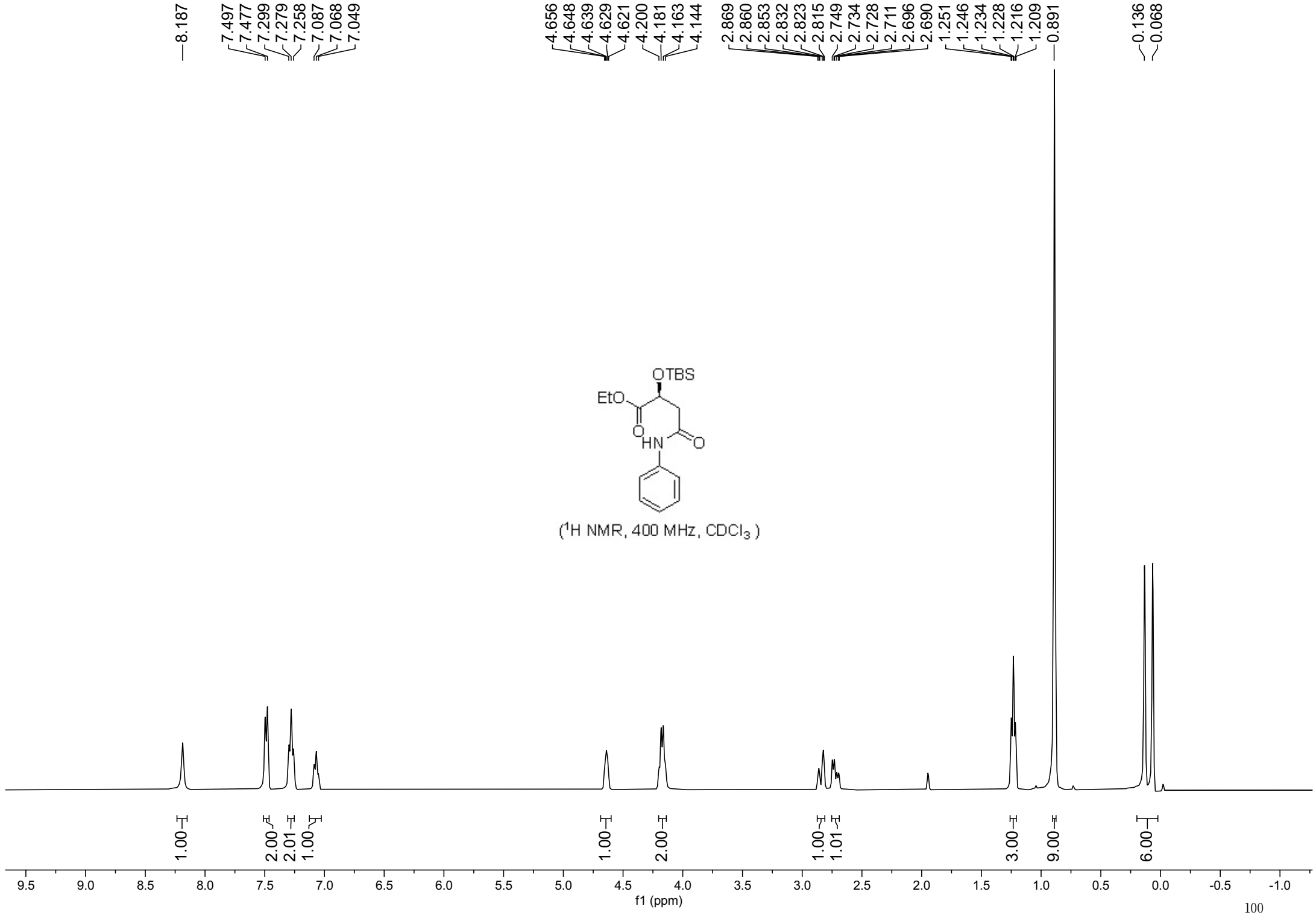
NMR Spectra of compound **18**



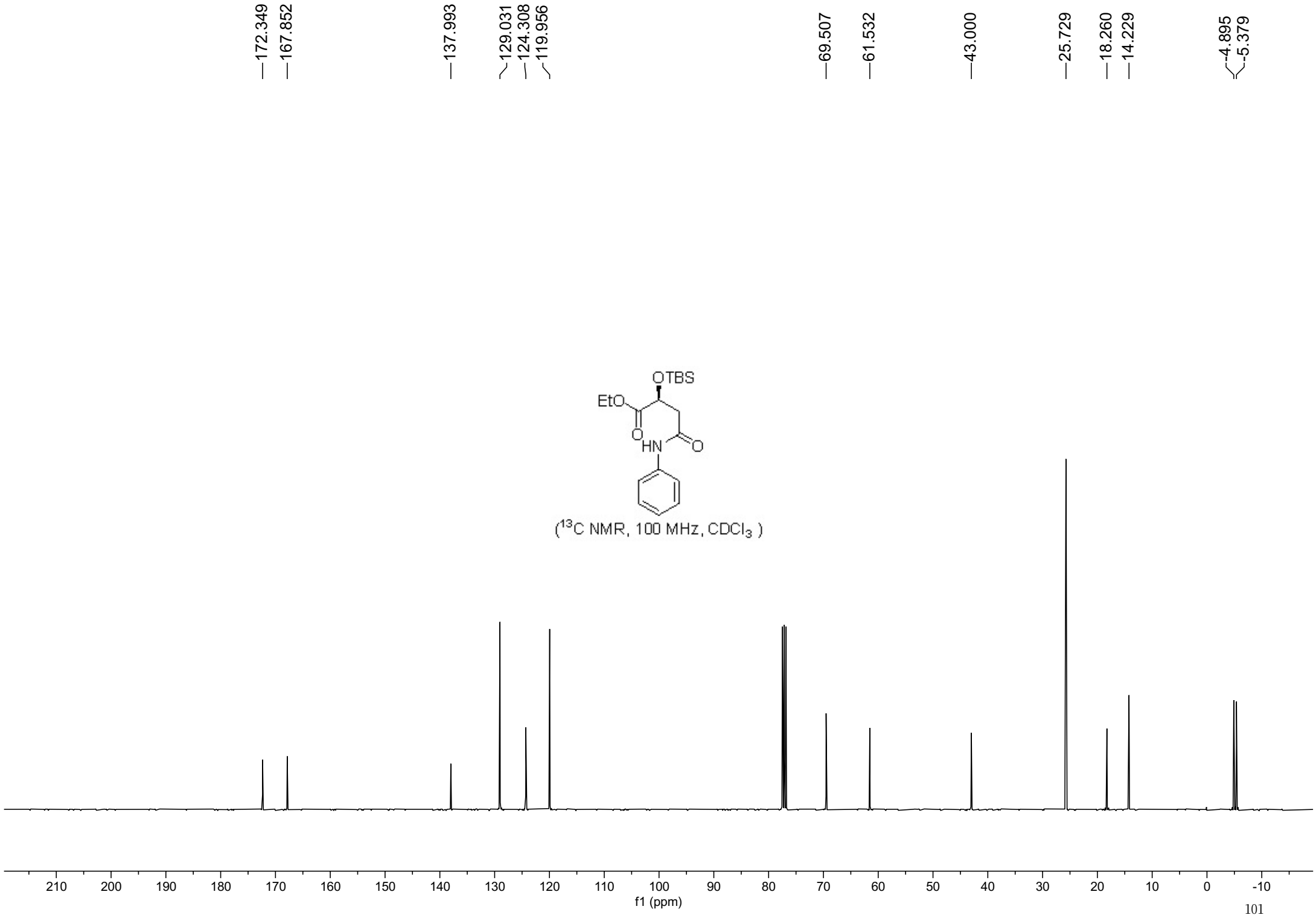
NMR Spectra of compound **18**



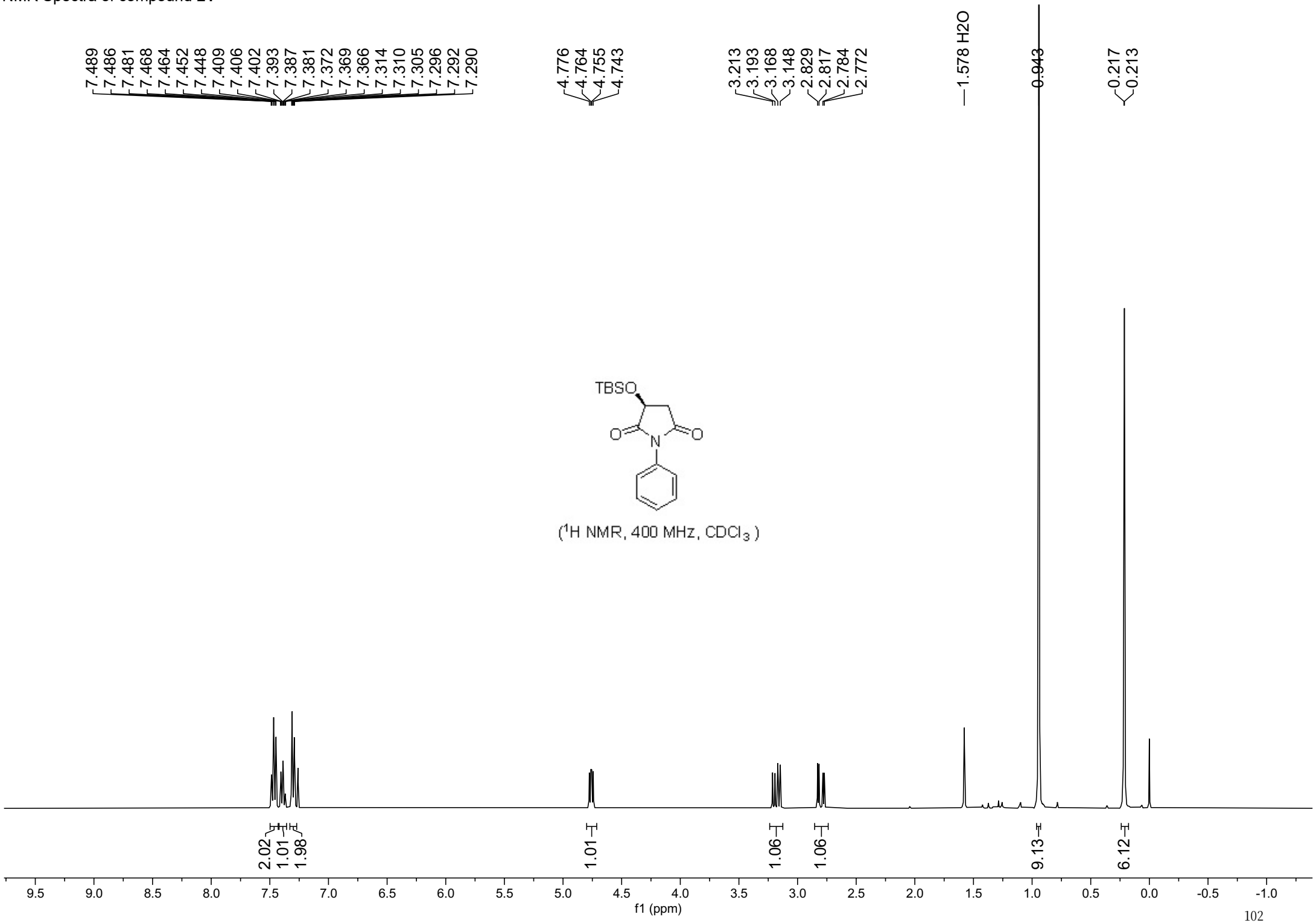
NMR Spectra of compound **20**



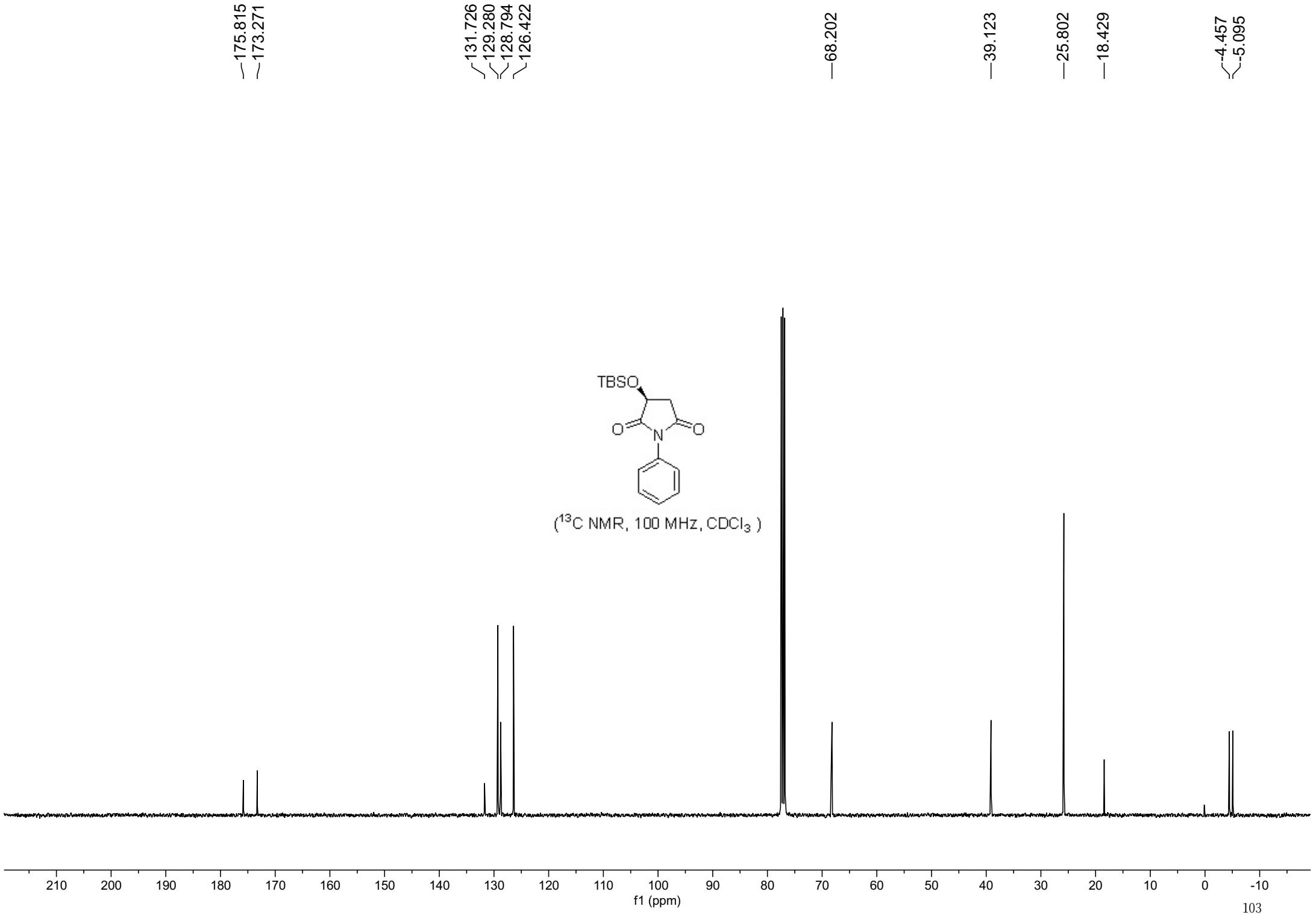
NMR Spectra of compound **20**



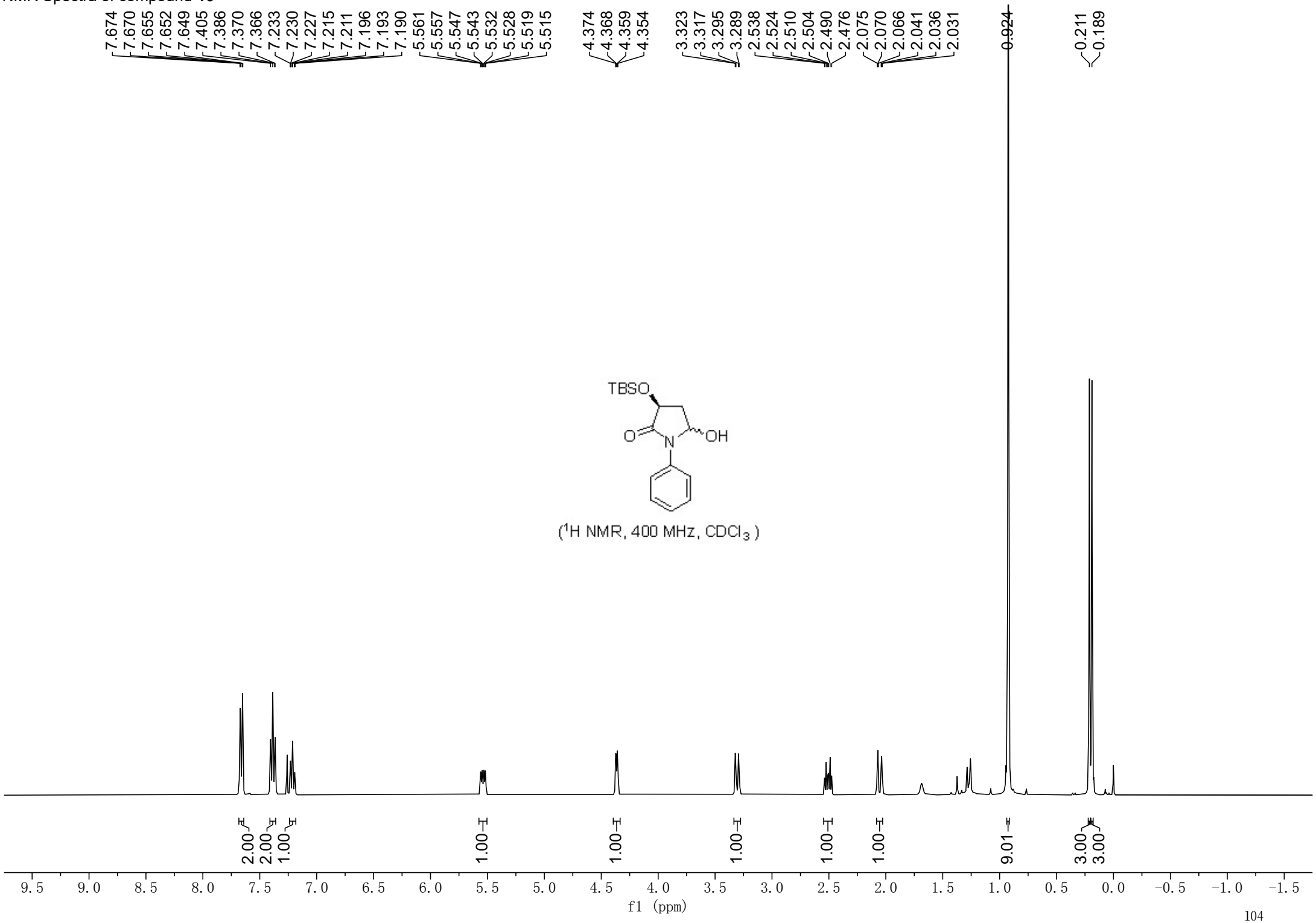
NMR Spectra of compound **21**



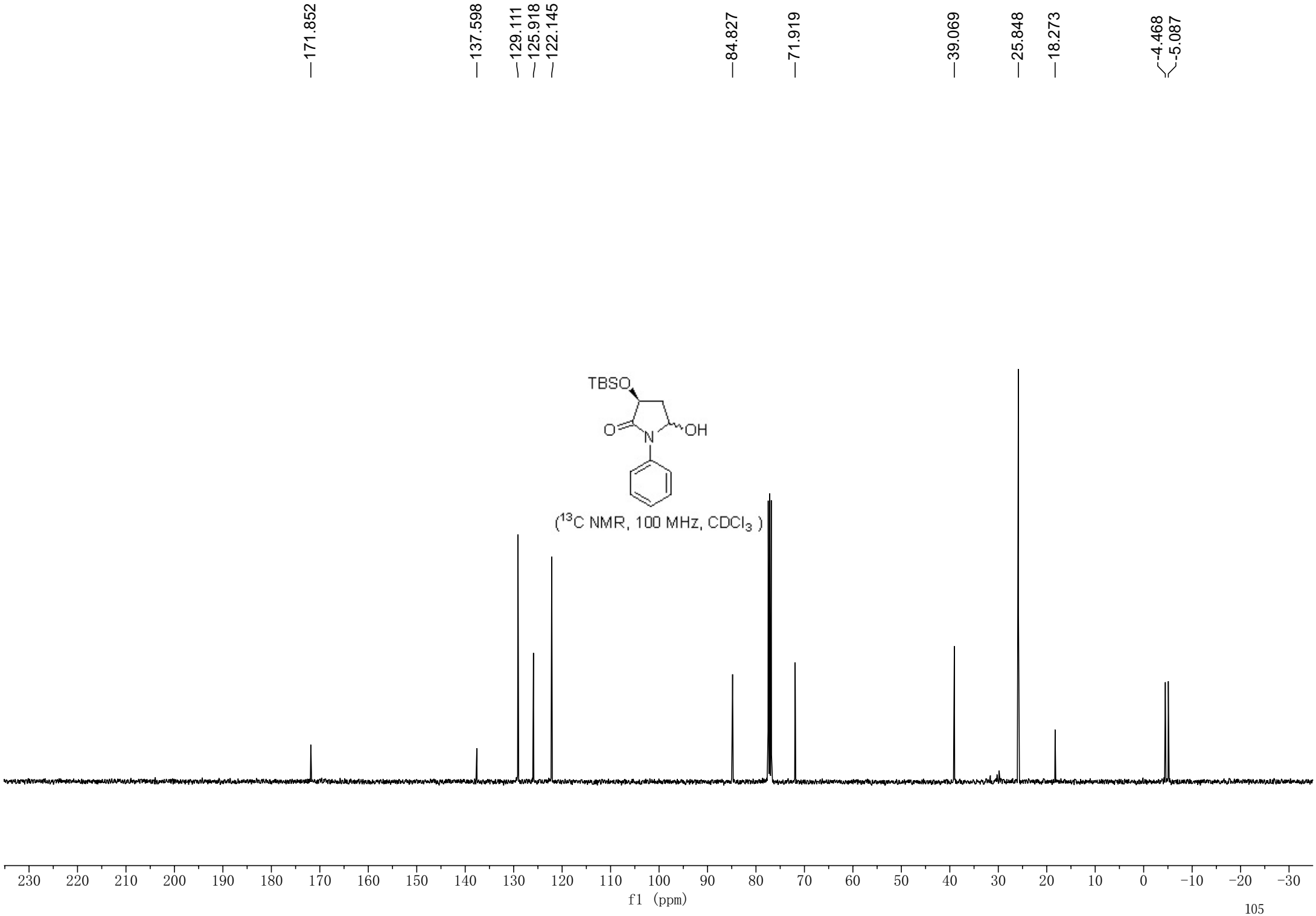
NMR Spectra of compound **21**



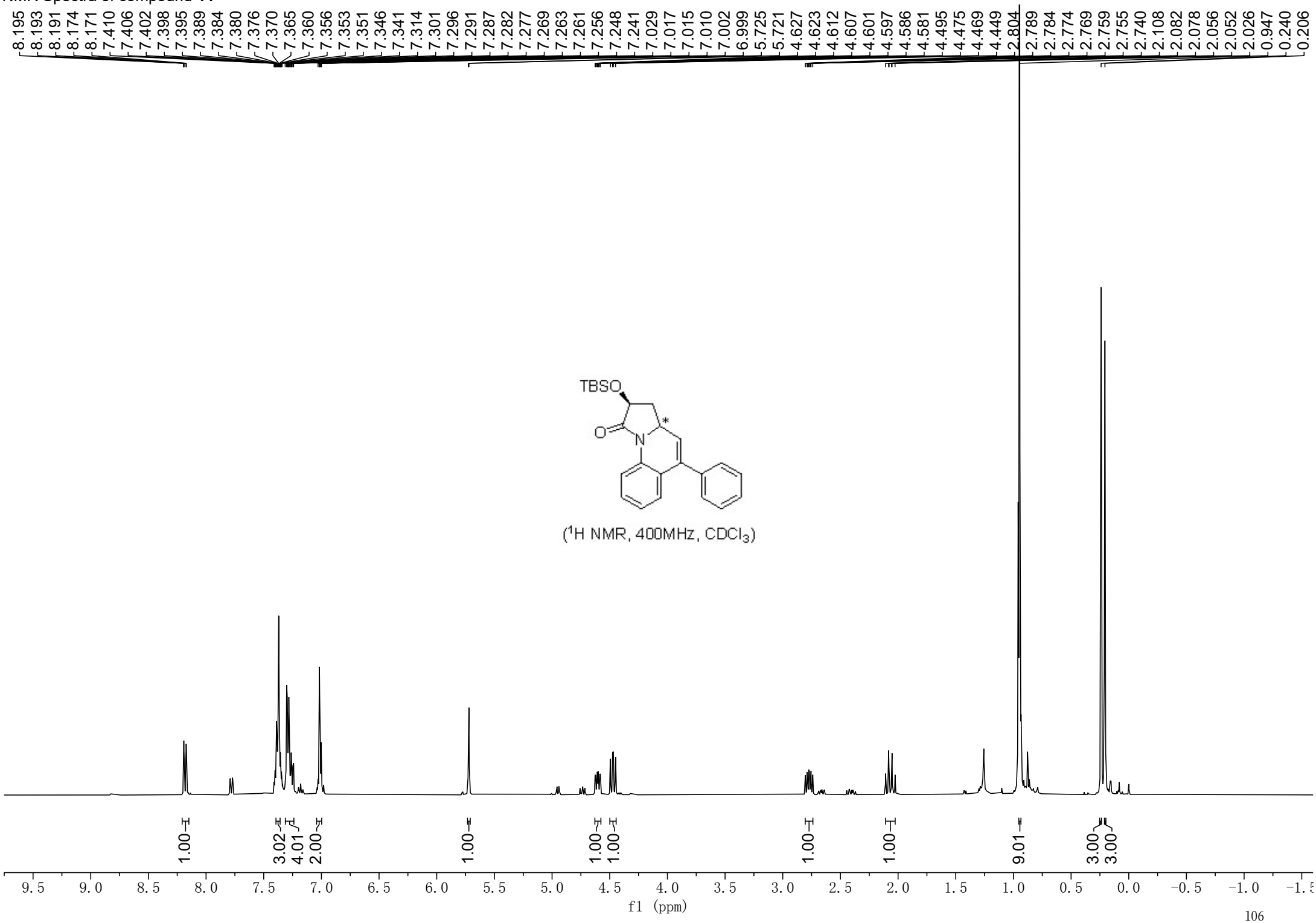
NMR Spectra of compound **10**



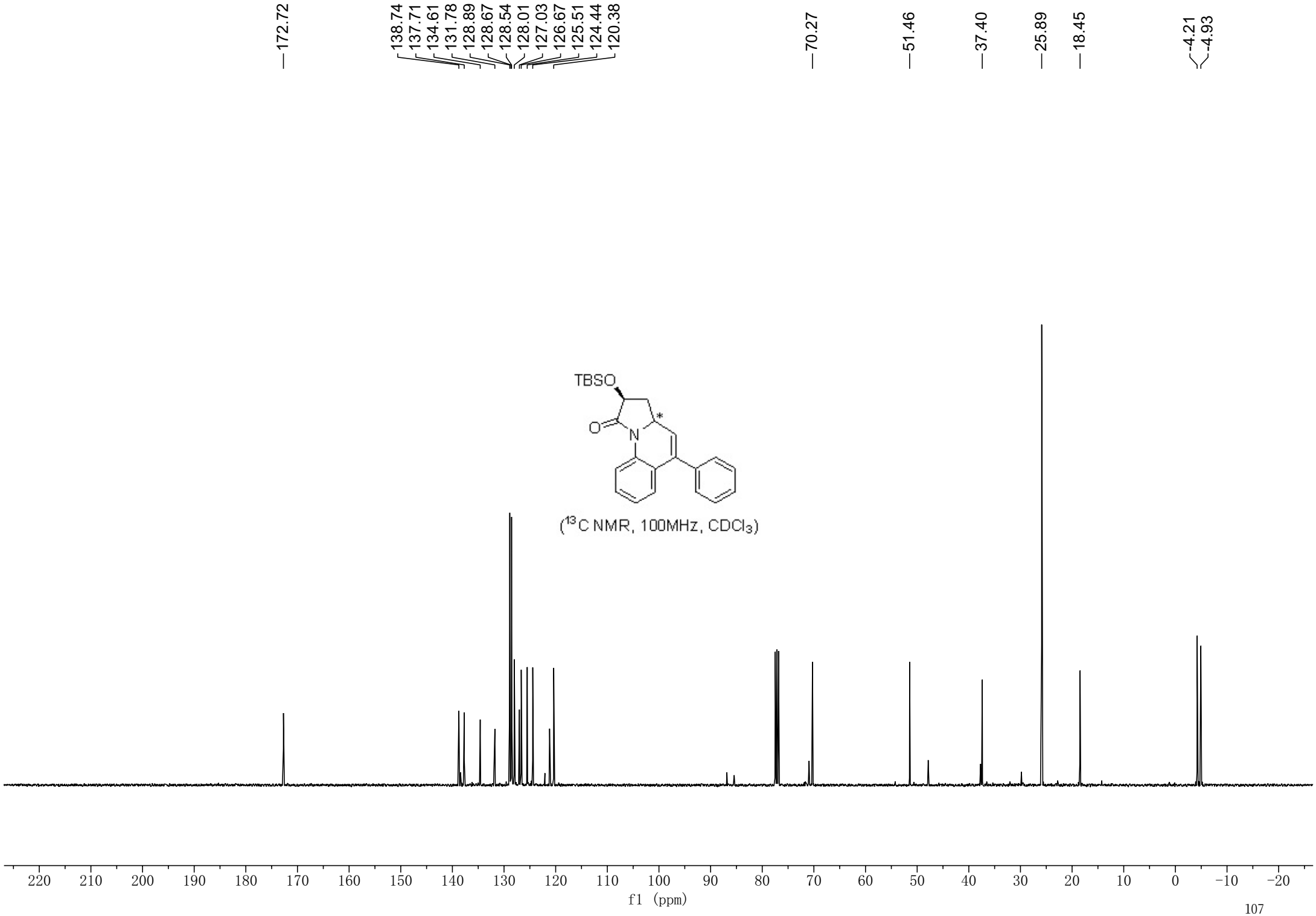
NMR Spectra of compound **10**



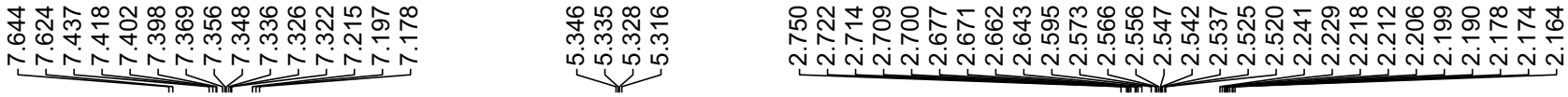
NMR Spectra of compound **11**

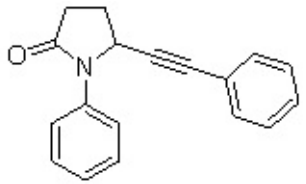


NMR Spectra of compound **11**

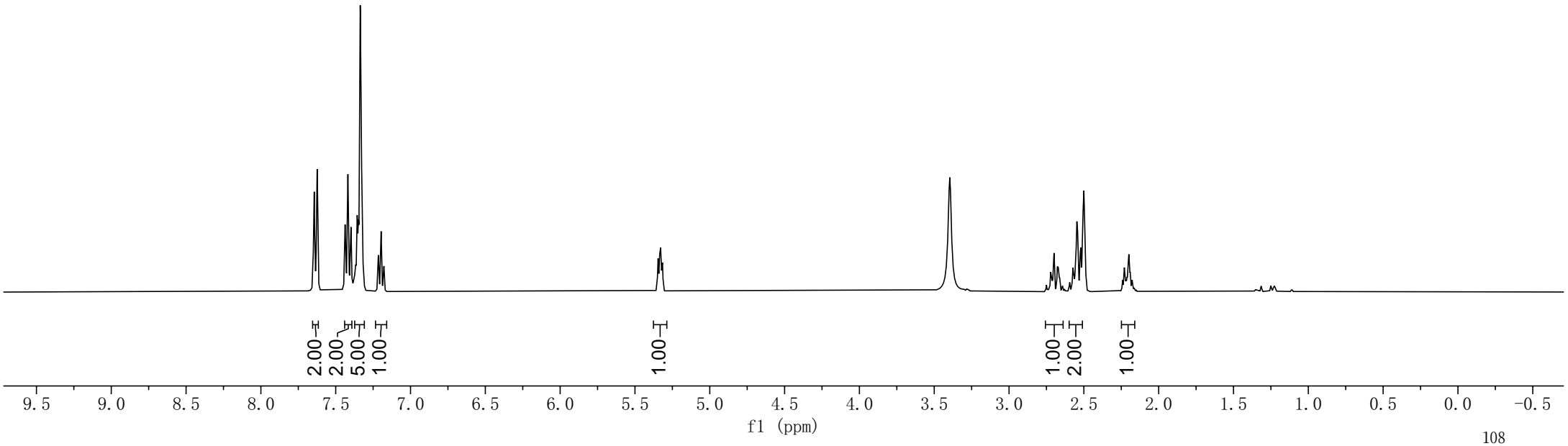


NMR Spectra of compound **12**





(^{1}H NMR, 400 MHz, DMSO-d_6)



NMR Spectra of compound **12**