

Supporting Information

Cs_2CO_3 as source of carbonyl and ethereal oxygen in Cu-catalyzed cascade synthesis of benzofuran [3,2-*c*] quinolin[5-*H*]ones

Wajid Ali, Anju Modi, Ahalya Behera, Prakash Ranjan Mohanta, Bhisma K. Patel*

Department of Chemistry, Indian Institute of Technology Guwahati, Guwahati-781039, India

Email: patel@iitg.ernet.in

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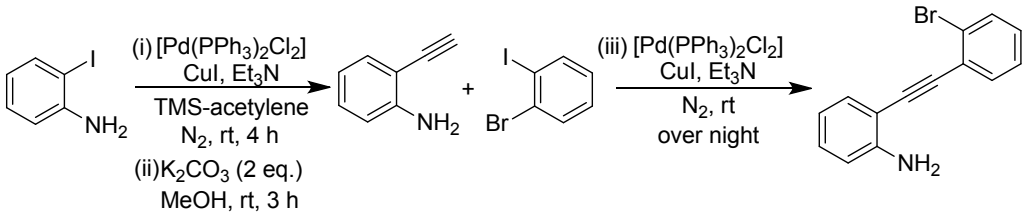
General information

All the compounds were commercial grade and used without further purification. Organic extract were dried over anhydrous sodium sulphate. Solvents were removed in a rotary evaporator under reduce pressure. Silica gel (60–120 mesh size) was used for the column chromatography. Reactions

were monitored by TLC on silica gel 60 F254 (0.25 mm). NMR spectra were recorded in $CDCl_3$ with tetramethylsilane as internal standard for proton NMR (400 and 600 MHz) $CDCl_3$ solvent as internal standard for ^{13}C NMR (100 and 150 MHz). HRMS spectra were recorded using ESI mode. IR spectra were recorded in KBr or neat.

General Procedure for the Synthesis of Starting Substrates. Compounds **1-34** were synthesized following the modified literature procedure.[1-3]



A 50 mL oven dried round bottom flask was charged with a magnetic stir-bar, $[Pd(PPh_3)_2Cl_2]$ (0.04 mmol; 28 mg), CuI (0.08 mmol; 15.2 mg) and 2-iodo aniline (2 mmol; 438 mg). Maintaining an atmosphere of nitrogen, triethylamine (15 mL) was added to the reaction mixture followed by a drop wise addition of trimethylsilylacetylene (3.0 mmol; 294 mg). The resultant reaction mixture was further stirred at room temperature and the progress of the reaction was monitored by TLC. Once all the 2-iodoaniline was consumed, the mixture was diluted with ethyl acetate (10 mL) and filtered through the celite and washed with ethyl acetate (2 x 5 mL). The filtrate was evaporated under reduced pressure and the compound was purified using silica column (60-120 mesh). The TMS-ethynylaniline so obtained was dissolved in MeOH (3 mL) followed by addition of anhydrous K_2CO_3 (2 equiv.) and the reaction mixture was stirred at room temperature for 5 h. Upon completion of the reaction MeOH was removed under reduced pressure, it was admixed with ethyl acetate (20 mL) and the resultant solution was washed with water (3 x 10 mL). The ethyl acetate layer was concentrated

under reduced pressure. The resultant product 2-ethynylaniline so obtained (77%, 180 mg) was used in the next step without any further purification.

A 25 mL oven dried round bottom flask was charged with a magnetic stir-bar, $[Pd(PPh_3)_2Cl_2]$ (0.02 mmol; 14 mg), CuI (0.04 mmol; 7.6 mg) and 1-bromo-2-iodobenzene (1.2 mmol; 338 mg). To this was added triethylamine (8 mL) under an atmosphere of nitrogen followed by the dropwise addition of 2-ethynylaniline (1.0 mmol; 117 mg). The resultant reaction mixture was then allowed to stir at room temperature for overnight. Once the reaction was complete, the mixture was diluted with ethyl acetate (10 mL) and was filtered through a bed of celite and wash with ethyl acetate (2 x 5 mL). The organic layer was concentrated under reduced pressure and purified using column chromatography (Silica gel: 60-120 mesh; ethyl acetate:hexane 3:97) giving product 2-((2-bromophenyl)ethynyl)aniline in 66% yield (178 mg).

1. Bhunia, S.; Ghorpade, S.; Huple, D. B.; Liu, R.-S. *Angew. Chem., Int. Ed*. **2012**, *51*, 2939.

2. Odedra, A.; Datta, S.; Liu, R.-S. *J. Org. Chem*. **2007**, *72*, 3289.

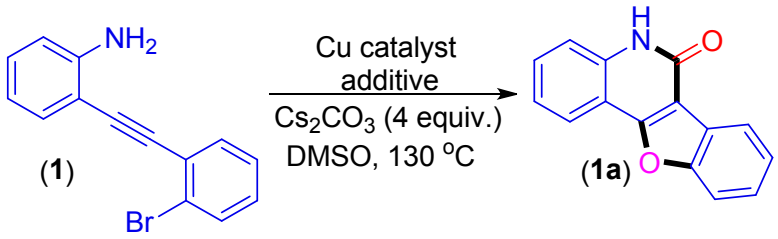
3. Shu, C.; Chen, C.-B.; Chen, W.-X.; Ye, L.-W. *Org. Lett.* **2013**, *15*, 5542.

Table S1. Optimization of CO Source Their Quantity, Additive, Solvent and Temperature[a]

Entry	Catalyst (mol %)	CO Source (equiv.)	Additive (equiv.)	Solvent	Temp ^{o}C	Yield[b]
1	$Cu(OAc)_2$ (10)	Cs_2CO_3 (3)	L-alanine (2)	DMSO	130	57
2	$Cu(OAc)_2$ (10)	Cs_2CO_3 (3)	-	DMSO	130	55
3	$Cu(OAc)_2$ (10)	K_2CO_3 (3	-	DMSO	130	< 8
4	$Cu(OAc)_2$ (10)	Na_2CO_3(3)	-	DMSO	130	00
5	$Cu(OAc)_2$ (10)	$KHCO_3$ (3)	-	DMSO	130	00
6	$Cu(OAc)_2$ (10)	$NaHCO_3$ (3)	-	DMSO	130	00
7	**$Cu(OAc)_2$ (10)**	**Cs_2CO_3 (4)**	**-**	**DMSO**	**130**	**62**
8	$Cu(OAc)_2$ (10)	Cs_2CO_3 (6)	-	DMSO	130	63
9	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	DMA	130	< 10
10	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	DMF	130	< 6
11	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	Mesitylene	130	00
12	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	DCE	130	00
13	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	DMSO	150	59
14	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	DMSO	110	53

[a]Reaction conditions: 2-((2-bromophenyl)ethynyl)aniline (**1**) (0.2 mmol), $Cu(OAc)_2$ (10 mol%), CO source, additives, DMSO (3 mL), temperature, 24 h. [b]Isolated yield.

Table S2. Final Screening of Different Copper Salt and Their Loading and Additives[a]



Entry	Catalyst (mol %)	Cs_2CO_3 (equiv.)	Additive (equiv.)	Yield[b]
1	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	-	62
2	$Cu(OTf)_2$ (10)	Cs_2CO_3 (4)	-	59
3	$Cu(C_5HF_6O_2)_2$ (10)	Cs_2CO_3 (4)	-	53
4	$CuBr_2$ (10)	Cs_2CO_3 (4)	-	52
5	$CuCl_2$ (10)	Cs_2CO_3 (4)	-	56
6	CuI (10)	Cs_2CO_3 (4)	-	60
8	CuBr (10)	Cs_2CO_3 (4)	-	56
9	CuCl (10)	Cs_2CO_3 (4)	-	51
10	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	Ag_2CO_3 (1)	69
11	$Cu(OAc)_2$ (10)	-	Ag_2CO_3 (4)	00
12	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	$Ag(OCOCF_3)$ (1)	61
13	$Cu(OAc)_2$ (10)	Cs_2CO_3 (4)	$Ag(OSO_2CF_3)$ (1)	54
14	$Cu(OAc)_2$ (20)	Cs_2CO_3 (4)	Ag_2CO_3 (1)	69
15	**$Cu(OAc)_2$ (5)**	**Cs_2CO_3 (4)**	**Ag_2CO_3 (1)**	**67**
16	-	Cs_2CO_3 (4)	Ag_2CO_3 (1)	< 10

[a]Reaction conditions: 2-((2-bromophenyl)ethynyl)aniline (**1**) (0.2 mmol), copper salts, Cs_2CO_3 (0.8 mmol), additives, DMSO (3 mL) at 130 °C for 24 h. [b]Isolated yield.

General Procedure for the Synthesis of Benzofuro[3,2-*c*]quinolin-6(5*H*)-one (1a):

A round bottom flask with a magnetic stir bar was charged with 2-((2-bromophenyl)ethynyl)aniline (**1**) (0.2 mmol, 54 mg), $Cu(OAc)_2$ (5 mol%, 0.01 mmol, 1.81 mg), Ag_2CO_3 (1 equiv., 0.2 mmol, 55 mg), Cs_2CO_3 (4 equiv., 0.8 mmol, 260 mg) in 3 mL of DMSO. The reaction mixture was stirred in a preheated oil bath at 130 °C. The reaction was taken out after 24 h and was diluted with EtOAc (15 mL) and filtered through a celite pad. Filtrate was washed with water (2 x 5 mL) and water layer was further extracted with ethyl acetate (2 x 5 mL). After evaporation of the solvent, the crude mixture was purified by column chromatography using silica gel and hexane/ethyl acetate (4 : 1) as eluent to give product benzofuro[3,2-*c*]quinolin-6(5*H*)-one (**1a**) in (31.5 mg, 67 % yield).

Crystallographic Description:

Crystal data were collected with Bruker Smart Apex-II CCD diffractometer using graphite monochromatedMoKα radiation (λ = 0.71073 Å) at 298 K. Cell parameters were retrieved using SMART [a] software and refined with SAINT[a] on all observed reflections. Data reduction was performed with the SAINT software and corrected for Lorentz and polarization effects. Absorption corrections were applied with the program SADABS[b]. The structure was solved by direct methods implemented in SHELX-97[c] program and refined by full-matrix least-squares methods on F2. All non-hydrogen atomic positions were located in difference Fourier maps and refined anisotropically. The hydrogen atoms were placed in their geometrically generated positions. Colorless crystals were isolated in block shape from ethyl acetate at room temperature.

a. SMART V 4.043 Software for the CCD Detector System; Siemens Analytical Instruments Division: Madison, WI, 1995.

b. SAINT V 4.035 Software for the CCD Detector System; Siemens Analytical Instruments Division: Madison, WI, 1995.

c. Sheldrick, G. M. SHELXL-97, Program for the Refinement of Crystal Structures; University of Göttingen: Göttingen (Germany), 1997.

Crystallographic description of 2-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (8a): $C_{15}H_8FNO$, crystal dimensions 0.35 x 0.25 x 0.21mm, M_r = 253.22, Triclinic, space group P 21 21 21 ,a = 5.4675(3), b = 9.3791(7),c = 11.1931(9)Å, α = 84.624 ^{o} (5), β = 79.858^o (4), γ = 82.835^o (4), V = 559.09 (7)Å^3, Z = 2, ρ_{calcd} = 1.504g/cm^3, μ = 0.112mm^{-1}, F(000)= 260.0, reflection collected / unique = 1974 / 1921, refinement method = full-matrix least-squares on F^2, final R indices [I> 2σ(I)]: R_1 = 0.0759, wR_2 = 0.1537, R indices (all data): R_1 = 0.1528, wR_2 = 0.1537, goodness of fit = 0.931. CCDC-1453512 for **2-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one** (**8a**) contains the supplementary

crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

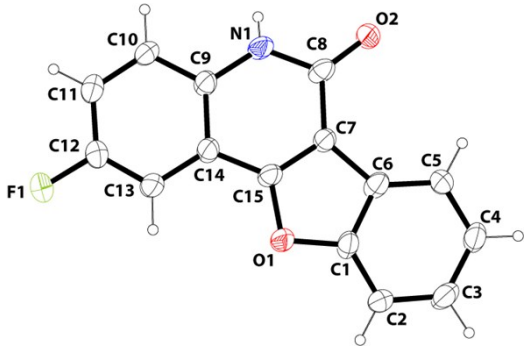
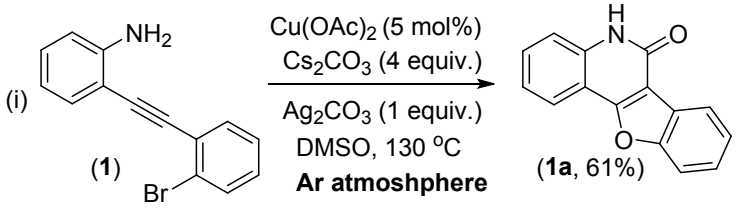


Figure S1. Ortep view with ellipsoid at 50% probability of the compound **8a**.

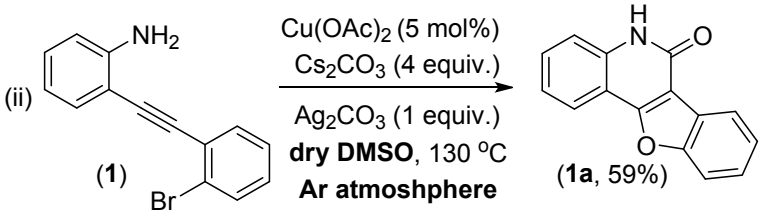
Mechanistic Investigation:

I. Control Experiments.

(a) Reaction under an Argon Atmosphere.

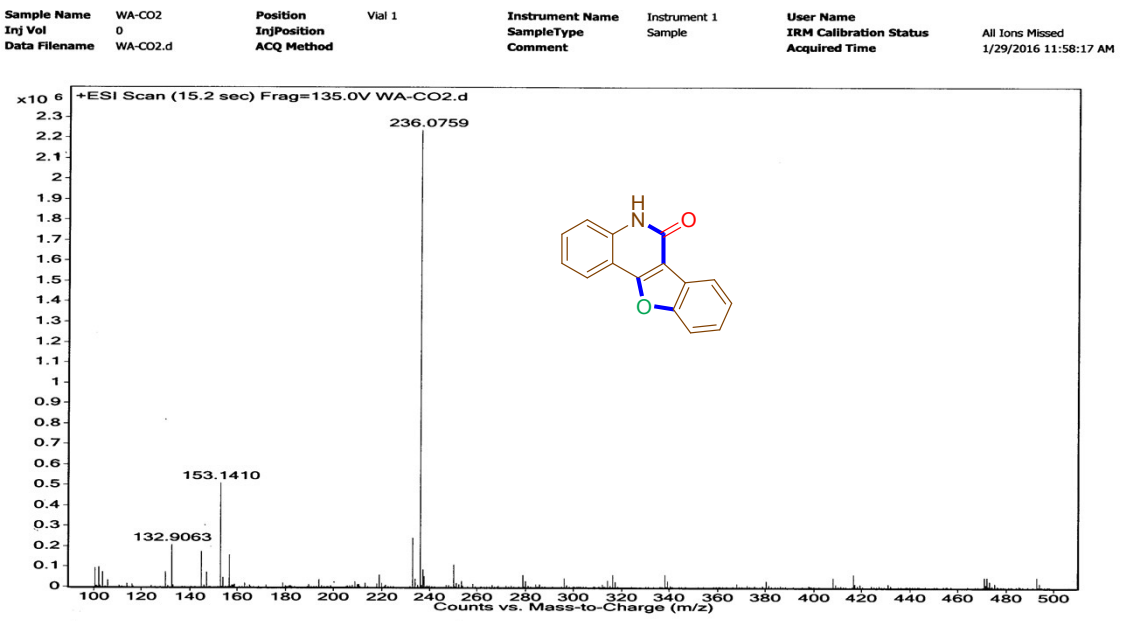


(b) Reaction in the Presence of Dry DMSO in Argon Atmosphere.



Formation of product **1a** in both argon atmospheres as well as in anhydrous DMSO ruled out the possibility of involvement of atmospheric oxygen and water present in commercial grade solvent.

HRMS spectra of reaction with CO_2 instead of Cs_2CO_3 in presence of DBU.

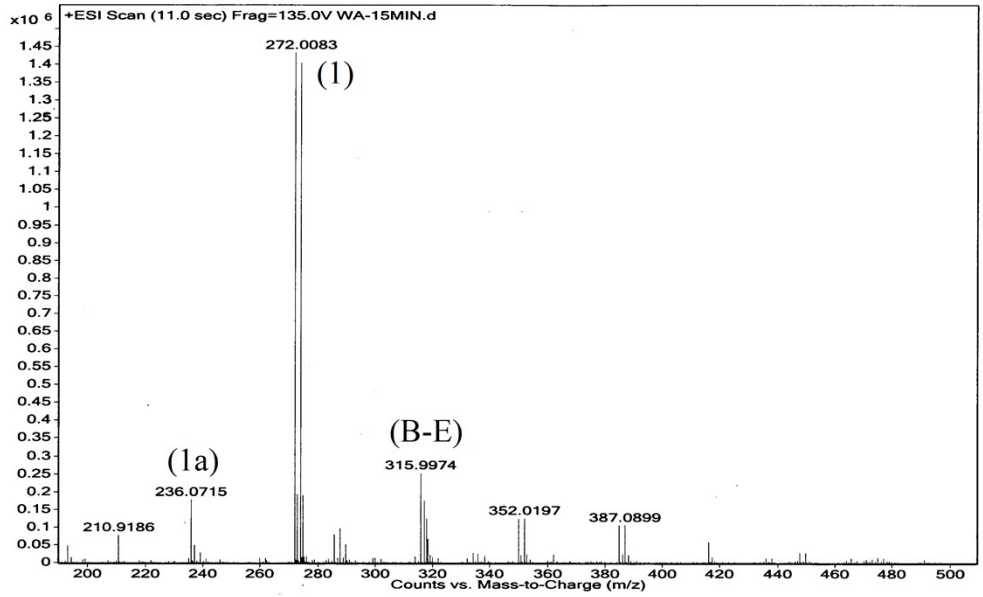


When a reaction was performed in the presence of CO_2 using DBU as base in absence of Cs_2CO_3, formation of product **1a** in 42% yield suggests that in our protocol reaction follows the similar path.

II. Analysis of reaction mixture. HRMS spectra:

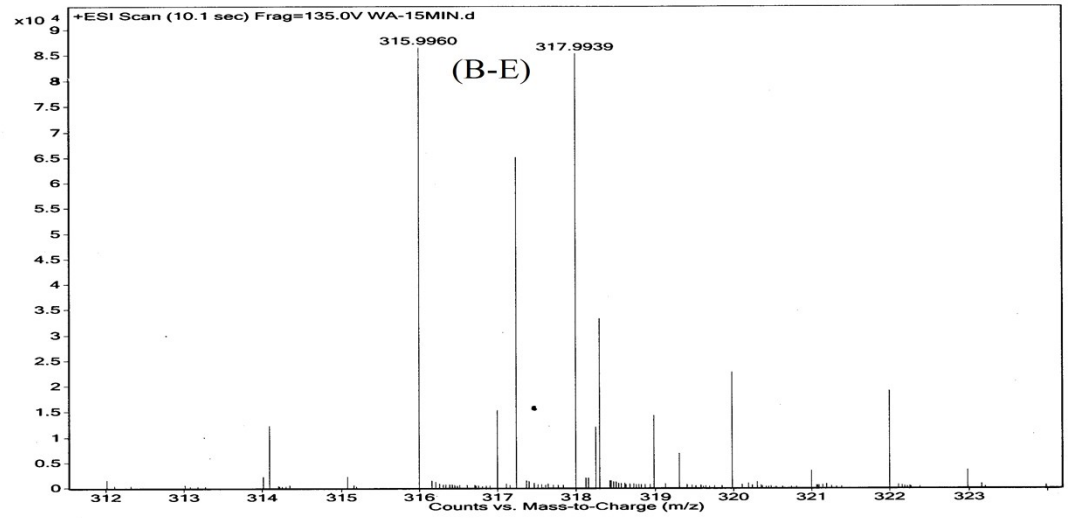
(a) After 15 minutes without expansion.

Sample Name	Unavailable	**Position**	Unavailable	**Instrument Name**	Unavailable	**User Name**	Unavailable
Inj Vol	Unavailable	**InjPosition**	Unavailable	**SampleType**	Unavailable	**IRM Calibration Status**	All Ions Missed
Data Filename	WA-15MIN.d	**ACQ Method**		**Comment**	Sample information is unavailable	**Acquired Time**	Unavailable

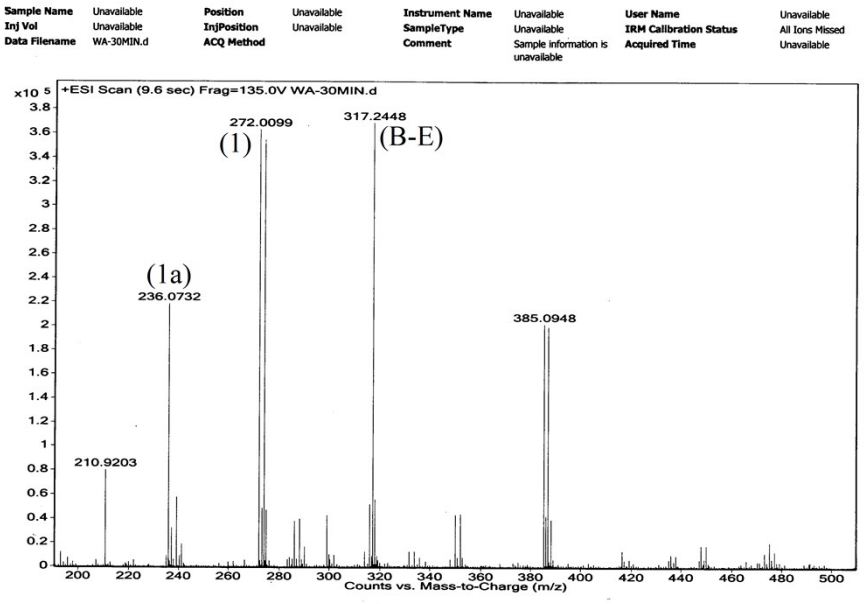


Expansion:

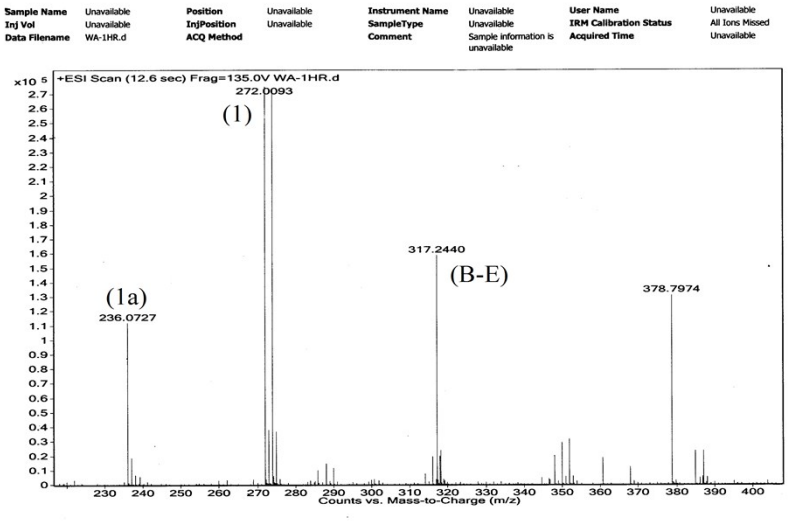
Sample Name	Unavailable	**Position**	Unavailable	**Instrument Name**	Unavailable	**User Name**	Unavailable
Inj Vol	Unavailable	**InjPosition**	Unavailable	**SampleType**	Unavailable	**IRM Calibration Status**	All Ions Missed
Data Filename	WA-15MIN.d	**ACQ Method**		**Comment**	Sample information is unavailable	**Acquired Time**	Unavailable



(b) After 30 minutes.

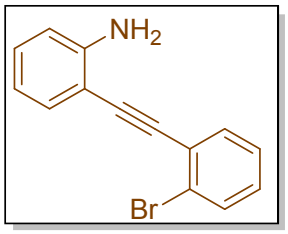


(c) After 1 h.



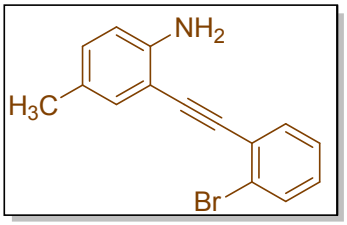
Analysis of reaction mixture after different time interval reveals that the intermediate **B-E** is proposed in reaction mechanism might be formed. Since all the intermediate have same molecular weight, that may be the reason we find only one peak of all intermediate.

Representative spectral data of starting material



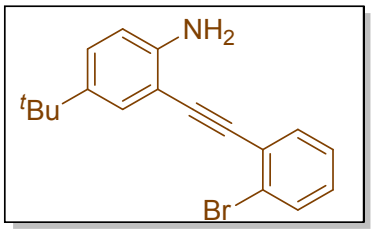
2-((2-Bromophenyl)ethynyl)aniline (1):

Yellowish solid: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 4.48 (bs, 2H), 6.71 (d, 2H, *J* = 7.6 Hz), 7.14 (t, 2H, *J* = 8.0 Hz), 7.27 (t, 1H, *J* = 7.6 Hz), 7.38 (d, 1H, *J* = 7.6 Hz), 7.54 (d, 1H, *J* = 7.6 Hz), 7.59 (d, 1H, *J* = 8.4 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 91.2, 93.5, 107.4, 114.5, 117.9, 125.2, 125.7, 127.3, 129.3, 130.4, 132.2, 132.5, 133.1, 148.6; IR (KBr): 3304, 3207, 2961, 2852, 2753, 2206, 1624, 1490, 1313, 1023, 740 cm^{-1}; HRMS (ESI): calcd. for $C_{14}H_{10}BrN^{+}$ [M + H^{+}] 272.0069; found 272.0075.



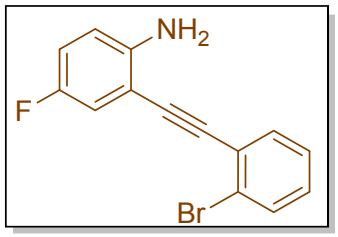
2-((2-Bromophenyl)ethynyl)-4-methylaniline (2):

White solid: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 2.24 (s, 3H), 4.36 (bs, 2H), 6.66 (d, 1H, *J* = 8.4 Hz), 6.98 (d, 1H, *J* = 8.4 Hz), 7.17 (t, 1H, *J* = 8.0 Hz), 7.22 (s, 1H), 7.30 (t, 1H, *J* = 7.6 Hz), 7.56 (d, 1H, *J* = 8.0 Hz), 7.62 (d, 1H, *J* = 8.0 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 20.6, 91.4, 93.3, 107.4, 114.7, 124.8, 125.8, 127.2, 127.3, 129.3, 131.3, 132.2, 132.5, 133.1, 146.1; IR (KBr): 3293, 3182, 3060, 2916, 2197, 1627, 1497, 1428, 1316, 1024, 812 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_{12}BrN^{+}$ [M + H^{+}] 286.0226; found 286.0223.



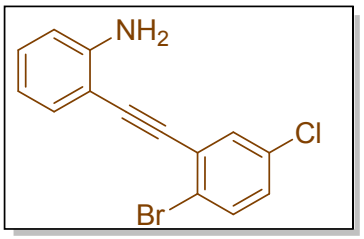
2-((2-Bromophenyl)ethynyl)-4-(*tert*-butyl)aniline (5):

Gummy: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 1.18 (s, 9H), 4.28 (bs, 2H), 6.56 (d, 1H, *J* = 8.8 Hz), 7.03 (dt, 1H, *J* = 7.6 Hz), 7.09 (dd, 1H, *J* = 8.4 Hz), 7.16 (t, 1H, *J* = 7.6 Hz), 7.30 (d, 1H, *J* = 2.4 Hz), 7.47 (dt, 2H, *J* = 7.6 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 31.5, 34.0, 91.8, 93.0, 106.8, 114.4, 125.1, 125.7, 127.3, 127.8, 128.6, 129.2, 132.4, 133.0, 140.6, 146.3; IR (KBr): 3295, 7982, 3059, 2916, 2195, 1630, 1495, 1430, 1311, 1030, 815 cm^{-1} HRMS (ESI): calcd. for $C_{18}H_{18}BrN^+$ [M + H^+] 328.0695; found 328.0699.



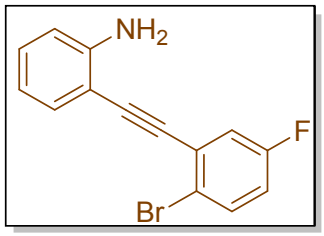
2-((2-Bromophenyl)ethynyl)-4-fluoroaniline (8):

Brown solid: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 4.31 (bs, 2H), 6.67 (dd, 1H, *J* = 8.8 Hz), 6.90 (td, 1H, *J* = 8.4 Hz), 7.10 (dd, 1H, *J* = 8.8 Hz), 7.20 (t, 1H, *J* = 8.4 Hz), 7.31 (t, 1H, *J* = 8.0 Hz), 7.57 (d, 1H, *J* = 8.0 Hz), 7.63 (d, 1H, *J* = 8.8 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 90.2, 94.1, 108.1, 108.2, 115.2, 117.5, 117.7, 117.8, 117.9, 125.3, 127.4, 129.7, 132.6, 133.3, 145.1, 154.1, 156.5; IR (KBr): 3306, 3185, 3069, 2926, 2851, 2199, 1626, 1492, 1426, 1200, 1023, 868 cm^{-1}; HRMS (ESI): calcd. for $C_{14}H_9BrFN^+$ [M + H^+] 289.9975; found 289.9980.



2-((2-Bromo-5-chlorophenyl)ethynyl)aniline (16):

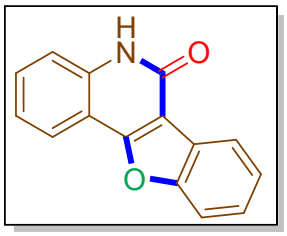
Brown solid: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 4.45 (bs, 2H), 6.70 (t, 2H, *J* = 7.6 Hz), 7.13 (q, 2H, *J* = 8.0 Hz), 7.35 (d, 1H, *J* = 7.6 Hz), 7.50 (d, 2H, *J* = 9.2 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 92.4, 92.6, 106.9, 114.6, 118.0, 123.1, 127.2, 129.4, 130.8, 132.4, 132.6, 133.4, 133.5, 148.7; IR (KBr): 3412, 3318, 2961, 2919, 2851, 2199, 1621, 1457, 1314, 1158, 1024, 845cm^{-1}; HRMS (ESI): calcd. for $C_{14}H_9BrClN^+$ [M + H$^+$] 305.9680; found 305.9686.



2-((2-Bromo-5-fluorophenyl)ethynyl)aniline (17):

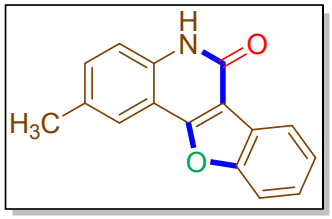
White solid: **^{1}H NMR** (400 MHz, $CDCl_3$): δ (ppm) 4.45 (bs, 2H), 6.72 (d, 2H, *J* = 7.2 Hz), 6.90 (td, 1H, *J* = 8.4 Hz), 7.16 (t, 1H, *J* = 7.6 Hz), 7.26 (dd, 1H, *J* = 8.8 Hz), 7.38 (d, 1H, *J* = 7.6 Hz), 7.54 (dd, 1H, *J* = 5.2 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$): δ (ppm) 92.4, 92.6, 106.8, 114.6, 116.8, 117.0, 118.0, 119.6, 119.7, 119.8, 127.2, 130.8, 132.4, 133.7, 133.8, 148.7, 160.3, 162.8; IR (KBr): 3421, 3325, 3072, 2913, 2201, 1623, 1567, 1465, 1310, 1200, 1101, 860 cm^{-1}; HRMS (ESI): calcd. for $C_{14}H_9BrFN^+$ [M + H$^+$] 289.9975; found 289.9982.

Spectral data of product



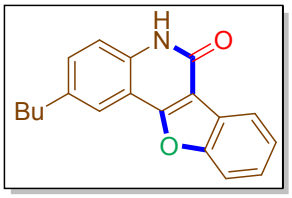
Benzofuro[3,2-*c*]quinolin-6(5*H*)-one (1a):

Brown solid; m.p. 307–309 °C; **^{1}H NMR** (600 MHz, DMSO-d_6): δ (ppm) 7.33 (t, 1H, J = 7.8 Hz), 7.45 (t, 1H, J = 7.2 Hz), 7.49–7.52 (m, 2H), 7.59 (t, 1H, J = 7.8 Hz), 7.80 (d, 1H, J = 6.6 Hz), 8.05 (d, 1H, J = 8.4 Hz), 8.11 (d, 1H, J = 7.8 Hz), 12.00 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 110.0, 110.8, 111.7, 116.2, 121.1, 121.2, 122.3, 123.8, 124.5, 126.2, 130.7, 138.4, 154.8, 157.8, 159.1; IR (KBr): 2923, 2852, 1684, 1449, 1368, 1106, 1018, 734 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_9NO_2^+$ [M + H$^+$] 236.0706; found 236.0714.



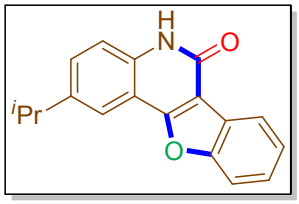
2-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (2a):

Brown solid; m.p. 317–318 °C; **^{1}H NMR** (600 MHz, DMSO-d_6): δ (ppm) 2.42 (s, 3H), 7.40–7.44 (m, 2H), 7.47 (t, 1H, J = 7.8 Hz), 7.51 (t, 1H, J = 7.8 Hz), 7.83 (t, 2H, J = 7.8 Hz), 8.10 (d, 1H, J = 7.2 Hz), 11.92 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 20.5, 110.0, 110.6, 111.8, 116.1, 120.6, 121.2, 123.9, 124.6, 126.3, 131.7, 132.2, 136.5, 154.8, 157.8, 159.0; IR (KBr): 2923, 2853, 1667, 1452, 1338, 1255, 1108, 744 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{11}NO_2^+$ [M + H$^+$] 250.0863; found 250.0870.



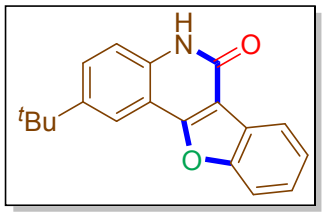
2-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (3a):

Brown solid; m.p. 211–214 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.89 (t, 3H, J = 7.6 Hz), 1.28–1.35 (m, 2H), 1.55–1.63 (m, 2H), 2.67 (t, 2H, J = 7.6 Hz), 7.43 (s, 2H), 7.46 (d, 1H, J = 7.6 Hz), 7.50 (t, 1H, J = 6.8 Hz), 7.81 (d, 2H, J = 6.4 Hz), 8.10 (d, 1H, J = 7.2 Hz), 11.93 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 21.7, 33.1, 34.2, 109.9, 110.6, 111.8, 116.2, 119.9, 121.2, 123.9, 124.6, 126.2, 131.5, 136.6, 136.7, 154.8, 157.8, 159.0; IR (KBr): 2957, 2924, 2854, 1664, 1450, 1180, 1086, 746 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{17}NO_2^+$ [M + H$^+$] 292.1332; found 292.1335.



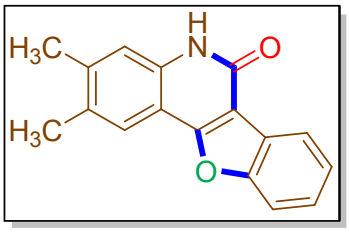
2-Isopropylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (4a):

White solid; m.p. 257–260 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 1.28 (d, 6H, J = 6.8 Hz), 3.01–3.10 (m, 1H), 7.47 (t, 2H, J = 8.4 Hz), 7.50–7.56 (m, 2H), 7.85 (d, 1H, J = 8.0 Hz), 7.89 (s, 1H), 8.11 (dd, 1H, J = 7.6 Hz), 11.96 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 23.9, 33.0, 109.9, 110.6, 111.8, 116.3, 117.8, 121.2, 123.9, 124.6, 126.3, 129.9, 136.8, 142.7, 154.8, 157.9, 159.0; IR (KBr): 2957, 2923, 2852, 1665, 1453, 1183, 1025, 887 cm^{-1}; HRMS (ESI): calcd. for $C_{18}H_{15}NO_2^+$ [M + H$^+$] 278.1176; found 278.1179.



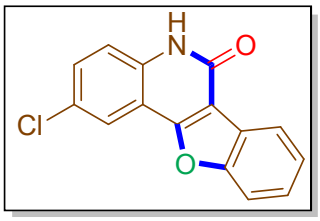
2-(Tert-butyl)benzofuro[3,2-*c*]quinolin-6(5*H*)-one (5a):

Brown solid; m.p. 330–333 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 1.36 (s, 9H), 7.47 (d, 2H, J = 8.8 Hz), 7.52 (td, 1H, J = 7.2 Hz), 7.72 (dd, 1H, J = 8.8 Hz), 7.86 (d, 1H, J = 7.6 Hz), 7.96 (d, 1H, J = 2.0 Hz), 8.10 (dd, 1H, J = 7.6 Hz), 11.96 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 31.1, 34.4, 109.9, 110.2, 111.9, 116.2, 116.5, 121.2, 123.9, 124.6, 126.2, 129.0, 136.5, 145.0, 154.8, 158.1, 159.1; IR (KBr): 2961, 2901, 2846, 1664, 1450, 1334, 1045, 994 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{17}NO_2^+$ [M + H$^+$] 292.1332; found 292.1340.



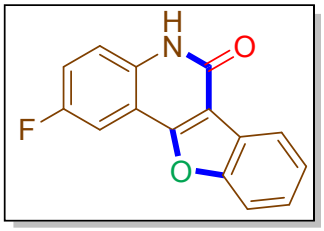
2,3-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (6a):

Light yellow solid; m.p. 295–298 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.30 (s, 6H), 7.24 (s, 1H), 7.43–7.51 (m, 2H), 7.76 (s, 1H), 7.79 (d, 1H, J = 8.0 Hz), 8.07 (d, 1H, J = 7.2 Hz), 11.82 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 19.0, 20.1, 108.7, 109.1, 111.7, 116.4, 120.9, 121.1, 124.0, 124.5, 126.0, 131.2, 136.9, 140.5, 154.6, 157.9, 159.1; IR (KBr): 2959, 2924, 2853, 1658, 1450, 1145, 1000, 864, 743 cm^{-1}; HRMS (ESI): calcd. for $C_{17}H_{13}NO_2^+$ [M + H$^+$] 264.1019; found 264.1025.



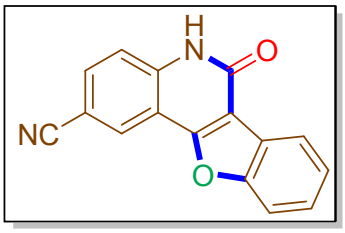
2-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (7a):

Yellowish brown solid; m.p. 310–312 °C ;**^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.48–7.57 (m, 3H), 7.66 (d, 1H, J = 8.8 Hz), 7.86 (d, 1H, J = 8.4 Hz), 8.07 (s, 1H), 8.11 (d, 1H J = 7.6 Hz), 12.17 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 110.9, 111.89, 111.94, 118.2, 120.3, 121.4, 123.5, 124.8, 126.5, 126.8, 130.8, 137.0, 154.9, 156.6, 158.8; IR (KBr): 2958, 2925, 2854, 1658, 1454, 1259, 1104, 1023, 810 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8ClNO_2^+$ [M + H$^+$] 270.0316; found 270.0320.



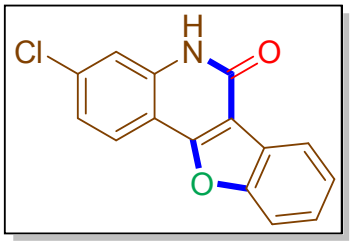
2-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (8a):

Light brown solid; m.p. 303–305 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.46–7.56 (m, 4H), 7.83 (t, 2H, J = 8.0 Hz), 8.11 (d, 1H, J = 7.6 Hz), 12.08 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 106.3, 106.6, 110.9, 111.2, 111.3, 111.9, 118.3, 118.4, 118.9, 119.1, 121.4, 123.6, 124.8, 126.7, 135.1, 154.9, 156.1, 157.1, 158.5, 158.7; IR (KBr): 2958, 2925, 2854, 1658, 1454, 1259, 1104, 1023, 810 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8FNO_2^+$ [M + H$^+$] 254.0612; found 254.0621.



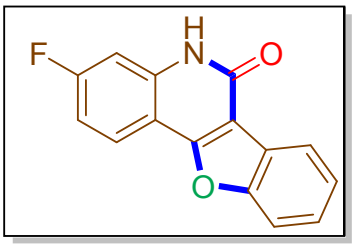
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-2-carbonitrile (9a):

Yellowish brown solid; m.p. 327–329 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.49 (t, 1H, J = 7.2 Hz), 7.57 (t, 2H, J = 8.8 Hz), 7.85 (d, 1H, J = 8.4 Hz), 7.96 (d, 1H, J = 8.8 Hz), 8.09 (d, 1H, J = 7.6 Hz), 8.52 (s, 1H), 12.40 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 104.6, 111.1, 111.2, 112.0, 117.2, 118.6, 121.5, 123.3, 125.0, 126.5, 127.0, 133.2, 140.8, 154.9, 156.5, 159.0; IR (KBr): 2953, 2924, 2853, 2223, 1643, 1462, 1025, 997, 764 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_8N_2O_2^+$ [M + H$^+$] 261.0659; found 261.0665.



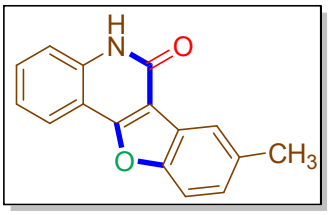
3-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (10a):

Brown solid; m.p. 301–304 °C; **^{1}H NMR** (600 MHz, DMSO-d_6): δ (ppm) 7.40 (d, 1H, J = 9.0 Hz), 7.49 (t, 1H, J = 7.2 Hz), 7.55 (t, 2H, J = 7.8 Hz), 7.86 (d, 1H, J = 8.4 Hz), 8.10 (t, 2H, J = 8.4 Hz), 12.10 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 109.7, 110.3, 111.9, 115.5, 121.3, 122.7, 123.1, 123.6, 124.8, 126.6, 135.2, 139.2, 154.9, 157.3, 159.0; IR (KBr): 2956, 2923, 2853, 1663, 1442, 1313, 1018, 953 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8ClNO_2^+$ [M + H$^+$] 270.0316; found 270.0320.



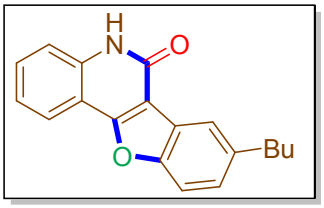
3-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (11a):

Light yellow solid; m.p. 270–273 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.20–7.27 (m, 2H), 7.47 (dt, 1H, J = 7.6 Hz), 7.52 (dt, 1H, J = 7.2 Hz), 7.84 (d, 1H, J = 7.6 Hz), 8.06–8.13 (m, 2H), 12.10 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 102.1, 102.4, 107.9, 109.3, 110.7, 111.0, 111.9, 121.2, 123.7, 123.8, 123.9, 124.8, 126.4, 139.9, 140.1, 154.7, 157.5, 159.2, 162.0, 164.5; IR (KBr): 2956, 2922, 2853, 1662, 1462, 1358, 1094, 883 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8FNO_2^+$ [M + H$^+$] 254.0612; found 254.0619.



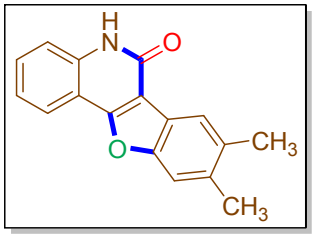
8-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (12a):

Brown solid; m.p. 321–323 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.17 (s, 3H), 7.02 (d, 1H, J = 8.8 Hz), 7.08 (t, 1H, J = 7.2 Hz), 7.23 (d, 1H, J = 8.4 Hz), 7.34 (t, 1H, J = 7.2 Hz), 7.39 (d, 1H, J = 8.0 Hz), 7.59 (s, 1H), 7.75 (d, 1H, J = 8.0 Hz) 11.67 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 21.2, 109.9, 111.0, 111.6, 116.5, 121.2, 123.0, 123.8, 127.7, 131.2, 134.3, 138.2, 147.2, 153.3, 158.3, 159.5; IR (KBr): 3011, 2923, 2852, 1676,1459, 1189, 1136, 1086, 873 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{11}NO_2^+$ [M + H$^+$] 250.0863; found 250.0860.



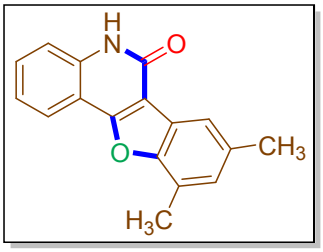
8-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (13a):

Brown solid; m.p. 245–247 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.89 (t, 3H, J = 7.2 Hz), 1.27–1.35 (m, 2H), 1.54–1.63 (m, 2H), 2.71 (t, 2H, J = 7.2 Hz), 7.28–7.33 (m, 2H), 7.49 (d, 1H, J = 8.8 Hz), 7.59 (t, 1H, J = 7.2 Hz), 7.69 (d, 1H, J = 8.4 Hz), 7.88 (s, 1H), 8.01 (d, 1H, J = 7.6 Hz) 12.00 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 21.8, 33.7, 34.7, 109.9, 110.8, 111.4, 116.2, 120.4, 121.1, 122.4, 123.8, 126.8, 130.7, 138.3, 138.9, 153.3, 158.0, 159.2; IR (KBr): 2955, 2924, 2853, 1661, 1458, 1187, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{17}NO_2^+$ [M + H$^+$] 292.1332; found 292.1338.



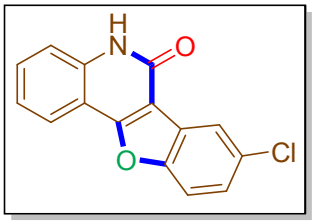
8,9-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (14a):

Dark yellow solid; m.p. 321–324 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.34 (s, 3H), 2.36 (s, 3H), 7.32 (t, 1H, J = 7.6 Hz), 7.49 (d, 1H, J = 8.0 Hz), 7.57–7.60 (m, 2H), 7.84 (s, 1H), 8.01 (d, 1H, J = 8.0 Hz), 11.97 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 19.6, 20.2, 110.0, 110.9, 112.0, 116.1, 121.0, 121.2, 121.5, 122.4, 130.5, 133.0, 135.4, 138.1, 153.7, 157.2, 159.1; IR (KBr): 2923, 2852, 1664, 1455, 1400, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{17}H_{13}NO_2^+$ [M + H$^+$] 264.1019; found 264.1019.



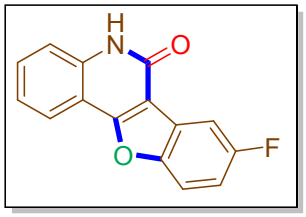
8,10-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (15a):

Brown solid; m.p. 324–326 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.40 (s, 3H), 2.54 (s, 3H), 7.08 (s, 1H), 7.32 (t, 1H, J = 7.6 Hz), 7.49 (d, 1H, J = 8.0 Hz), 7.59 (t, 1H, J = 7.6 Hz), 7.68 (s, 1H), 8.01 (d, 1H, J = 7.6 Hz), 11.93 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 14.7, 20.9, 110.1, 110.9, 116.1, 118.5, 120.8, 121.1, 122.3, 123.4, 128.3, 130.6, 133.8, 138.2, 152.1, 157.6, 159.2; IR (KBr): 2956, 2923, 2853, 1674, 1460, 1166, 1026, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{17}H_{13}NO_2^+$ [M + H$^+$] 264.1019; found 264.1025.



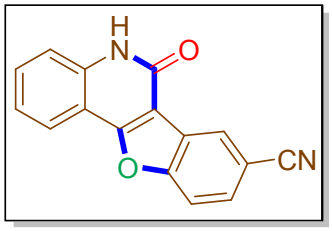
8-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (16a):

Yellow solid; m.p. 334–3337 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.36 (t, 1H, J = 7.6 Hz), 7.53 (t, 2H, J = 8.8 Hz), 7.64 (t, 1H, J = 7.6 Hz), 7.88 (d, 1H, J = 8.8 Hz), 8.01 (s, 1H), 8.04 (d, 1H, J = 8.0 Hz), 12.09 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 109.4, 110.5, 113.5, 116.3, 120.3, 121.3, 122.6, 125.5, 126.1, 129.0, 131.4, 138.7, 153.3, 158.7, 159.0; IR (KBr): 2924, 2853, 1670, 1444, 1335, 1140, 906, 819 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8ClNO_2^+$ [M + H$^+$] 270.0316; found 270.0320.



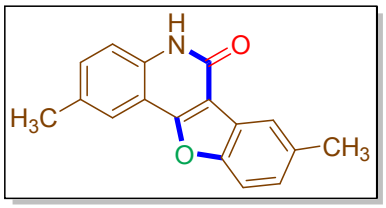
8-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (17a):

Yellow solid; m.p. 346–348 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.35–7.40 (m, 2H), 7.52 (d, 1H, J = 8.0 Hz), 7.64 (t, 1H, J = 8.4 Hz), 7.76 (dd, 1H, J = 8.4 Hz), 7.90 (dd, 1H, J = 8.8 Hz), 8.05 (d, 1H, J = 8.0 Hz), 12.07 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 106.7, 106.9, 110.0, 110.6, 113.2, 113.3, 113.6, 113.8, 116.3, 121.3, 122.6, 124.9, 125.0, 131.3, 138.6, 151.1, 158.6, 158.8, 159.4, 160.2; IR (KBr): 2924, 2853, 1645, 1464, 1256, 1026, 995, 828, 767 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_8FNO_2^+$ [M + H$^+$] 254.0612; found 254.0609.



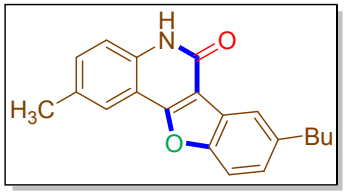
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-8-carbonitrile (18a):

White solid; m.p. 369–371 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.39 (t, 1H, J = 7.6 Hz), 7.54 (d, 1H, J = 8.4 Hz), 7.68 (t, 1H, J = 8.4 Hz), 7.99 (dd, 1H, J = 8.8 Hz), 8.10 (dd, 2H, J = 7.6 Hz), 8.44 (s, 1H), 12.20 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 107.6, 109.3, 110.2, 113.6, 116.4, 118.8, 121.5, 122.8, 124.8, 125.4, 130.3, 131.8, 138.9, 156.6, 158.6, 159.4; IR (KBr): 2956, 2923, 2853, 1659, 1459, 1157, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_8N_2O_2^+$ [M + H$^+$] 261.0659; found 261.0665.



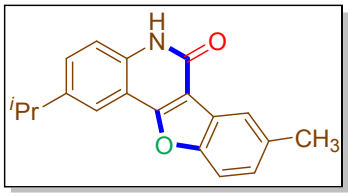
2,8-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (19a):

Brown solid; m.p. 291–293 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.41 (s, 3H), 2.46 (s, 3H), 7.29 (d, 1H, J = 8.4 Hz), 7.40 (d, 2H, J = 4.4 Hz), 7.67 (d, 1H, J = 8.4 Hz), 7.81 (s, 1H), 7.88 (s, 1H), 11.88 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 20.6, 21.1, 109.0, 110.8, 111.4, 116.2, 120.6, 121.2, 124.0, 127.4, 131.9, 132.2, 134.0, 136.4, 153.3, 158.0, 159.1; IR (KBr): 2959, 2923, 2850, 1669, 1460, 1204, 1135, 1046, 997 cm^{-1}; HRMS (ESI): calcd. for $C_{17}H_{13}NO_2^+$ [M + H$^+$] 264.1019; found 264.1026.



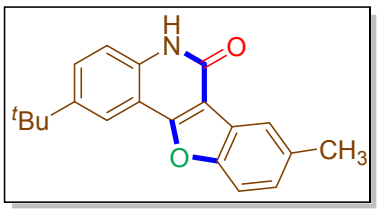
8-Butyl-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (20a):

Dark white solid; m.p. 257–260 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.90 (t, 3H, J = 7.2 Hz), 1.28–1.37 (m, 2H), 1.55–1.64 (m, 2H), 2.40 (s, 3H), 2.72 (t, 2H, J = 7.6 Hz), 7.29 (dd, 1H, J = 8.4 Hz), 7.38 (t, 2H, J = 6.0 Hz), 7.67 (d, 1H, J = 8.8 Hz), 7.79 (s, 1H), 7.87 (s, 1H), 11.91 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 20.5, 21.8, 33.7, 34.7, 109.9, 110.7, 111.3, 116.1, 120.4, 123.9, 126.7, 131.6, 132.0, 136.4, 138.8, 141.0, 153.3, 157.9, 159.0; IR (KBr): 2955, 2924, 2853, 1663, 1460, 1182, 1025, 996 cm^{-1}; HRMS (ESI): calcd. for $C_{20}H_{19}NO_2^+$ [M + H$^+$] 306.1489; found 306.1495.



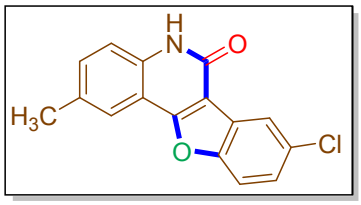
2-Isopropyl-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (21a):

White solid; m.p. 302–305 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 1.28 (d, 6H, J = 6.8 Hz), 2.48 (s, 3H), 3.01–3.08 (m, 1H), 7.32 (d, 1H, J = 8.8 Hz), 7.45 (d, 1H, J = 8.8 Hz), 7.54 (d, 1H, J = 8.4 Hz), 7.72 (d, 1H, J = 8.8 Hz), 7.87 (s, 1H), 7.91 (s, 1H), 11.94 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 21.0, 23.9, 33.0, 109.8, 110.7, 111.4, 116.3, 117.8, 121.1, 124.0, 127.3, 129.9, 133.9, 136.7, 142.7, 153.2, 158.1, 159.1; IR (KBr): 2925, 2854, 2814, 1686, 1448, 1256, 1134, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{17}NO_2^+$ [M + H$^+$] 292.1332; found 292.1329.



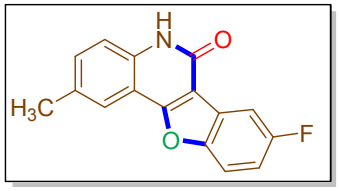
2-(Tert-butyl)-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (22a):

Brown solid; m.p. 347–349 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 1.37 (s, 9H), 2.47 (s, 3H), 7.31 (dd, 1H, J = 8.4 Hz), 7.46 (d, 1H, J = 8.8 Hz), 7.71–7.74 (m, 2H), 7.90 (s, 1H), 7.94 (s, 1H), 11.93 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 21.0, 31.1, 34.4, 109.8, 110.3, 111.4, 116.2, 116.4, 121.1 124.0, 127.2, 128.9, 133.9, 136.4, 145.0, 153.2, 158.2, 159.1; IR (KBr): 2957, 2923, 2853, 1668, 1457, 1358, 1189, 1079, 877 cm^{-1}; HRMS (ESI): calcd. for $C_{20}H_{19}NO_2^+$ [M + H$^+$] 306.1489; found 306.1494.



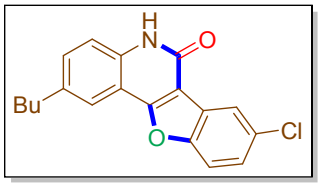
8-Chloro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (23a):

Yellow solid; m.p. 332–334 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.43 (s, 3H), 7.40 (d, 1H, J = 8.4 Hz), 7.46 (d, 1H, J = 8.4 Hz), 7.54 (d, 1H, J = 8.8 Hz), 7.83 (s, 1H), 7.87 (d, 1H, J = 8.8 Hz), 8.00 (s, 1H), 12.00 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 20.5, 109.3, 110.3, 113.5, 116.3, 120.3, 120.7, 125.5, 126.1, 129.0, 131.9, 132.7, 136.8, 153.3, 158.6, 158.9; IR (KBr): 2923, 2852, 2817, 1657, 1442, 1310, 1090, 1065, 815 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{10}ClNO_2^+$ [M + H^+] 284.0473; found 284.0480.



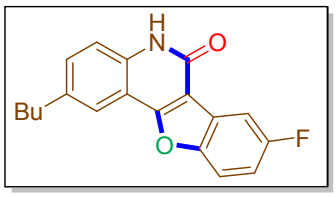
8-Fluoro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (24a):

Brown solid; m.p. 328–330 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.41 (s, 3H), 7.35 (t, 1H, J = 8.8 Hz), 7.40 (d, 1H, J = 8.4 Hz), 7.44 (d, 1H, J = 8.4 Hz), 7.73 (d, 1H, J = 8.4 Hz), 7.81 (s, 1H), 7.85 (dd, 1H, J = 8.8 Hz), 11.96 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 20.5, 106.7, 106.9, 110.0, 110.5, 113.1, 113.2, 113.5, 113.8, 116.3, 120.6, 125.0, 125.1, 131.9, 132.6, 136.7, 151.0, 158.2, 158.7, 159.3, 160.5; IR (KBr): 2923, 2853, 1682, 1462, 1254, 1157, 1025, 996 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{10}FNO_2^+$ [M + H^+] 268.0768; found 268.0765.



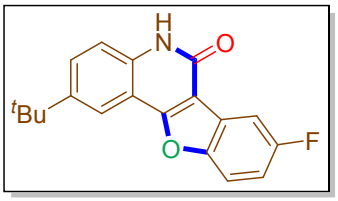
2-Butyl-8-chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (25a):

Brown solid; m.p. 213–216 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.91 (t, 3H, J = 7.2 Hz), 1.27–1.37 (m, 2H), 1.57–1.64 (m, 2H), 2.69 (t, 2H, J = 8.0 Hz), 7.42 (d, 1H, J = 8.4 Hz), 7.48 (dd, 1H, J = 8.4 Hz), 7.53 (dd, 1H, J = 8.4 Hz), 7.81 (s, 1H), 7.87 (d, 1H, J = 8.8 Hz), 7.99 (d, 1H, J = 4.4 Hz), 12.02 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 21.7, 33.1, 34.2, 109.3, 110.3, 113.5, 116.3, 120.0, 120.3, 125.6, 126.1, 129.0, 132.1, 136.8, 137.0, 153.3, 158.7, 159.0; IR (KBr): 2924, 2853, 1660, 1442, 1382, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{16}ClNO_2^+$ [M + H$^+$] 326.0942; found 326.0948.



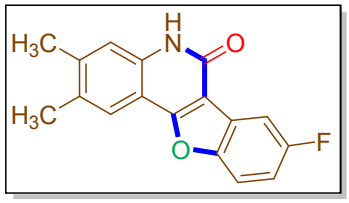
2-Butyl-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (26a):

Brown solid; m.p. 262–266 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.90 (t, 3H, J = 6.4 Hz), 1.29–1.35 (m, 2H), 1.58–1.61 (m, 2H), 2.68 (t, 2H, J = 7.6 Hz), 7.34 (t, 1H, J = 8.8 Hz), 7.41 (d, 1H, J = 8.4 Hz), 7.45 (d, 1H, J = 8.4 Hz), 7.72 (d, 1H, J = 8.4 Hz), 7.78 (s, 1H), 7.85 (dd, 1H, J = 8.8 Hz), 11.96 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 21.7, 33.1, 34.2, 106.6, 106.9, 109.9, 110.4, 113.1, 113.2, 116.3, 119.9, 125.0, 125.1, 131.9, 136.7, 136.9, 151.0, 158.1, 158.7, 159.2, 160.5; IR (KBr): 2957, 2926, 2856, 1669, 1464, 1339, 1156, 1087, 800 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{16}FNO_2^+$ [M + H$^+$] 310.1238; found 310.1245.



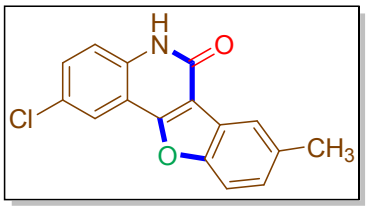
2-(Tert-butyl)-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (27a):

Brown solid; m.p. 345–347 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 1.36 (s, 9H), 7.33 (td, 1H, J = 9.2 Hz), 7.45 (d, 1H, J = 8.8 Hz), 7.71–7.74 (m, 2H), 7.87 (dd, 1H, J = 8.8 Hz), 7.91 (s, 1H), 11.99 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 31.1, 34.4, 106.6, 106.9, 109.9, 110.1, 113.2, 113.3, 113.4, 113.7, 116.3, 116.5, 125.0, 125.1, 129.4, 136.7, 145.1, 151.0, 158.1, 158.8, 159.5, 160.5; IR (KBr): 3011, 2923, 2851, 1676, 1459, 1136, 1086, 873 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{16}FNO_2^+$ [M + H$^+$] 310.1238; found 310.1245.



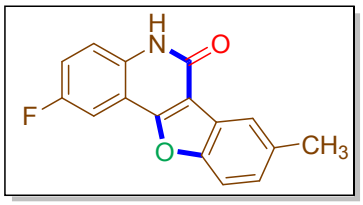
8-Fluoro-2,3-dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (28a):

Brown solid; m.p. 332–335 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.28 (s, 6H), 7.20 (s, 1H), 7.31 (td, 1H, J = 9.2 Hz), 7.68–7.70 (m, 2H), 7.81 (dd, 1H, J = 8.8 Hz), 11.86 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 19.0, 20.2, 106.5, 106.7, 108.5, 109.1, 113.0, 113.05, 113.12, 113.4, 116.4, 120.9, 125.1, 125.2, 131.3, 137.1, 141.0, 150.9, 158.1, 158.8, 159.3, 160.5; IR (KBr): 2956, 2854, 1675, 1450, 1280, 1024, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{17}H_{12}FNO_2^+$ [M + H$^+$] 282.0925; found 282.0930.



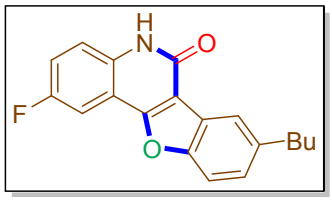
2-Chloro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (29a):

Reddish brown solid; m.p. 330–332 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.45 (s, 3H), 7.30 (d, 1H, J = 8.4Hz), 7.46 (d, 1H, J = 8.8 Hz), 7.60 (dd, 1H, J = 8.8 Hz), 7.66 (d, 1H, J = 8.4 Hz), 7.85 (s, 1H), 7.95 (s, 1H), 12.07 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 20.9, 110.7, 111.3, 111.9, 118.0, 120.1, 121.1, 123.5, 126.4, 127.7, 130.5, 134.0, 136.9, 153.3, 156.6, 158.8; IR (KBr): 2959, 2923, 2853, 1648, 1463, 1376, 1025, 995, 827 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{10}ClNO_2^+$ [M + H$^+$] 284.0473; found 284.0470.



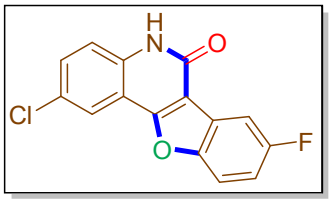
2-Fuoro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (30a):

Yellow solid; m.p. 305–307 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 2.47 (s, 3H), 7.32 (d, 1H, J = 8.8 Hz), 7.51 (d, 2H, J = 6.0 Hz), 7.69 (d, 1H, J = 8.4 Hz), 7.79 (d, 1H, J = 8.8 Hz), 7.89 (s, 1H), 12.05 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 20.9, 106.2, 106.5, 110.7, 111.28, 111.34, 118.2, 118.3, 118.7, 118.9, 121.2, 123.6, 127.7, 134.0, 135.0, 153.3, 156.1, 157.1, 158.4, 158.8; IR (KBr): 2923, 2853, 1672, 1511, 1462, 1158, 1080, 970 cm^{-1}; HRMS (ESI): calcd. for $C_{16}H_{10}FNO_2^+$ [M + H$^+$] 268.0768; found 268.0775.



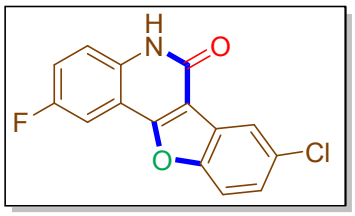
8-Butyl-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (31a):

Dark white solid; m.p. 255–257 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 0.90 (t, 3H, J = 7.2 Hz), 1.27–1.35 (m, 2H), 1.55–1.64 (m, 2H), 2.72 (t, 2H, J = 7.6 Hz), 7.32 (dd, 1H, J = 8.8 Hz), 7.48 (d, 2H, J = 7.2 Hz), 7.68 (d, 1H, J = 8.4 Hz), 7.76 (d, 1H, J = 8.0 Hz), 7.87 (s, 1H), 12.06 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 13.8, 21.8, 33.7, 34.7, 106.3, 106.5, 110.8, 111.4, 118.2, 118.3, 118.7, 118.9, 119.9, 120.5, 123.6, 127.2, 129.5, 135.0, 139.0, 153.4, 156.1, 157.1, 158.4, 158.8; IR (KBr): 2958, 2924, 2855, 1665, 1511, 1185, 1025, 995 cm^{-1}; HRMS (ESI): calcd. for $C_{19}H_{16}FNO_2^+$ [M + H$^+$] 310.1238; found 310.1243.



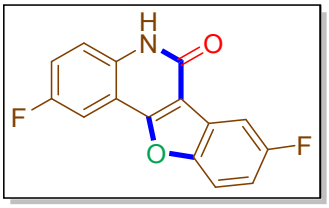
2-Chloro-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (32a):

Yellow solid; m.p. 339–341 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.40 (t, 1H, J = 9.2 Hz), 7.51 (d, 1H, J = 8.8 Hz), 7.67 (dd, 1H, J = 8.8 Hz), 7.75 (dd, 1H, J = 8.0 Hz), 7.89 (dd, 1H, J = 9.2 Hz), 8.04 (s, 1H), 12.19 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 106.8, 107.0, 110.9, 111.7, 11.3, 113.4, 114.1, 114.3, 118.2, 120.4, 124.6, 124.7, 126.6, 131.1, 137.2, 151.2, 158.1, 158.56, 158.58, 160.2; IR (KBr): 2961, 2924, 2854, 1682, 1463, 1157, 1026, 996, 803 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_7ClFNO_2^+$ [M + H$^+$] 288.0222; found 288.0230.



8-Chloro-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (33a):

Yellow solid; m.p. 345–348 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.52–7.57 (m, 3H), 7.81 (dd, 1H, J = 8.4 Hz), 7.88 (d, 1H, J = 8.4 Hz), 8.00 (s, 1H), 12.16 (s, 1H); **^{13}C NMR** (150 MHz, DMSO-d_6): δ (ppm) 106.5, 106.7, 110.3, 110.98, 111.04, 113.6, 118.45, 118.51, 119.5, 119.6, 120.5, 125.2, 126.6, 129.1, 135.4, 153.4, 156.5, 158.1, 158.2, 158.4; IR (KBr): 2923, 2853, 1688, 1445, 1266, 1188, 1063, 970 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_7ClFNO_2^+$ [M + H$^+$] 288.0222; found 288.0234.

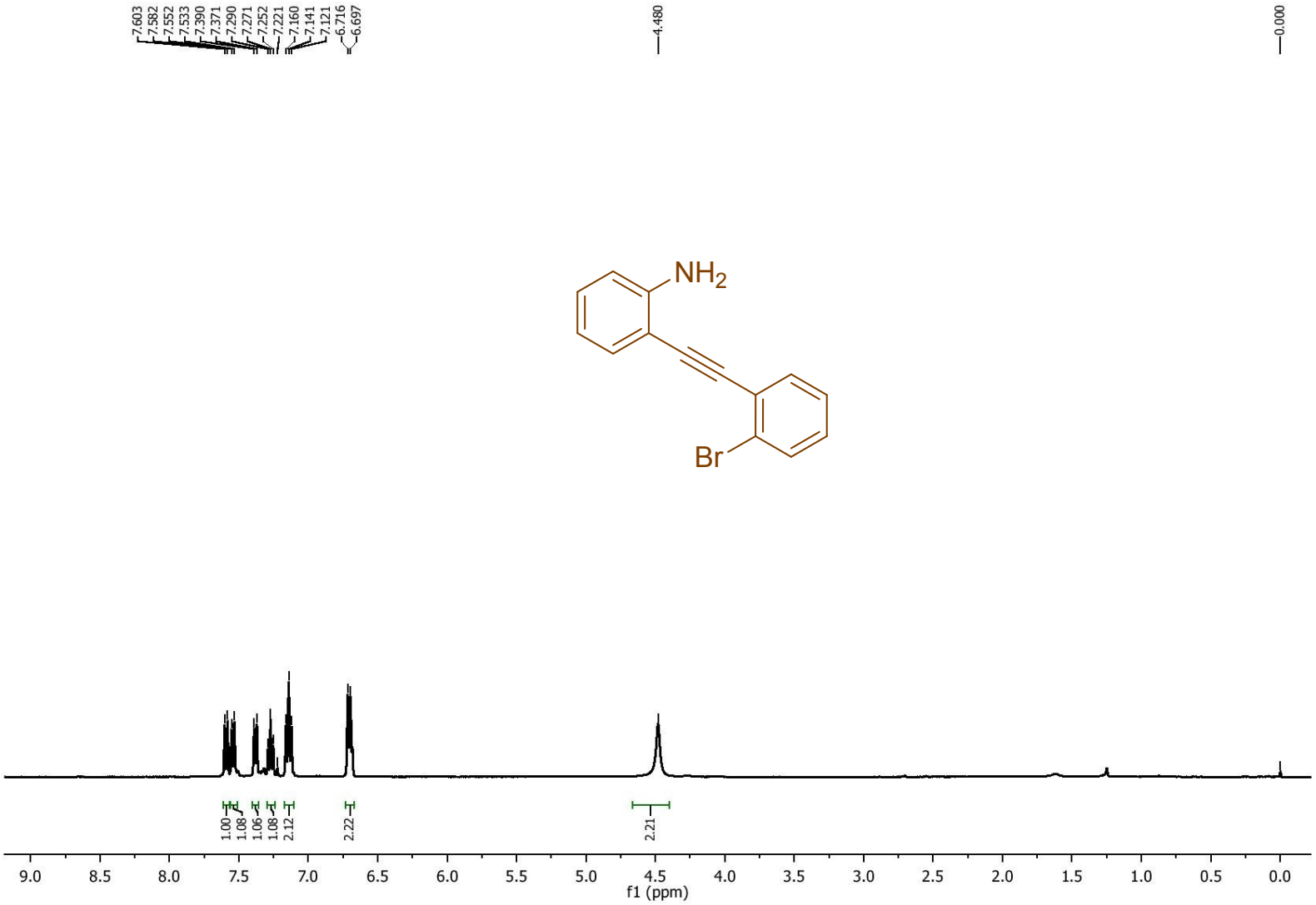


2,8-Difluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (34a):

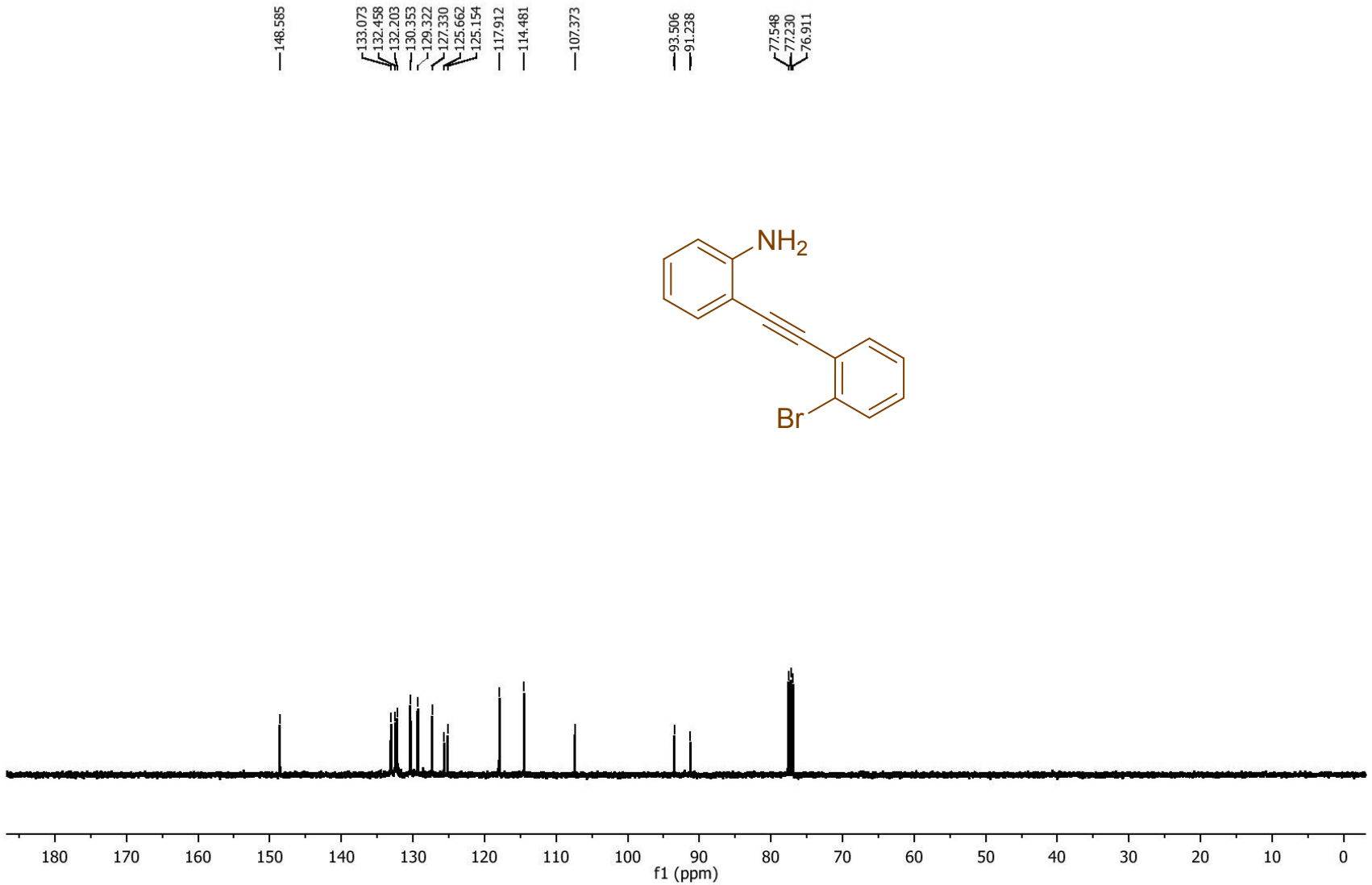
Yellow solid; m.p. 311–313 °C; **^{1}H NMR** (400 MHz, DMSO-d_6): δ (ppm) 7.36 (t, 1H, J = 9.2 Hz), 7.50 (d, 2H, J = 5.6 Hz), 7.70–7.76 (m, 2H), 7.84 (dd, 1H, J = 9.2 Hz), 12.08 (s, 1H); **^{13}C NMR** (100 MHz, DMSO-d_6): δ (ppm) 106.3, 106.6, 106.7, 107.0, 110.78, 110.82, 111.0, 111.1, 113.2, 113.3, 113.9, 114.2, 118.3, 118.4, 119.2, 119.4, 124.6, 124.7, 135.3, 151.1, 156.0, 158.1, 158.38, 158.42, 160.5; IR (KBr): 2960, 2924, 2855, 1685, 1459, 1278, 1178, 1048, 996, 800 cm^{-1}; HRMS (ESI): calcd. for $C_{15}H_7F_2NO_2^+$ [M + H$^+$] 272.0518; found 272.0525.

Representative spectra of starting material

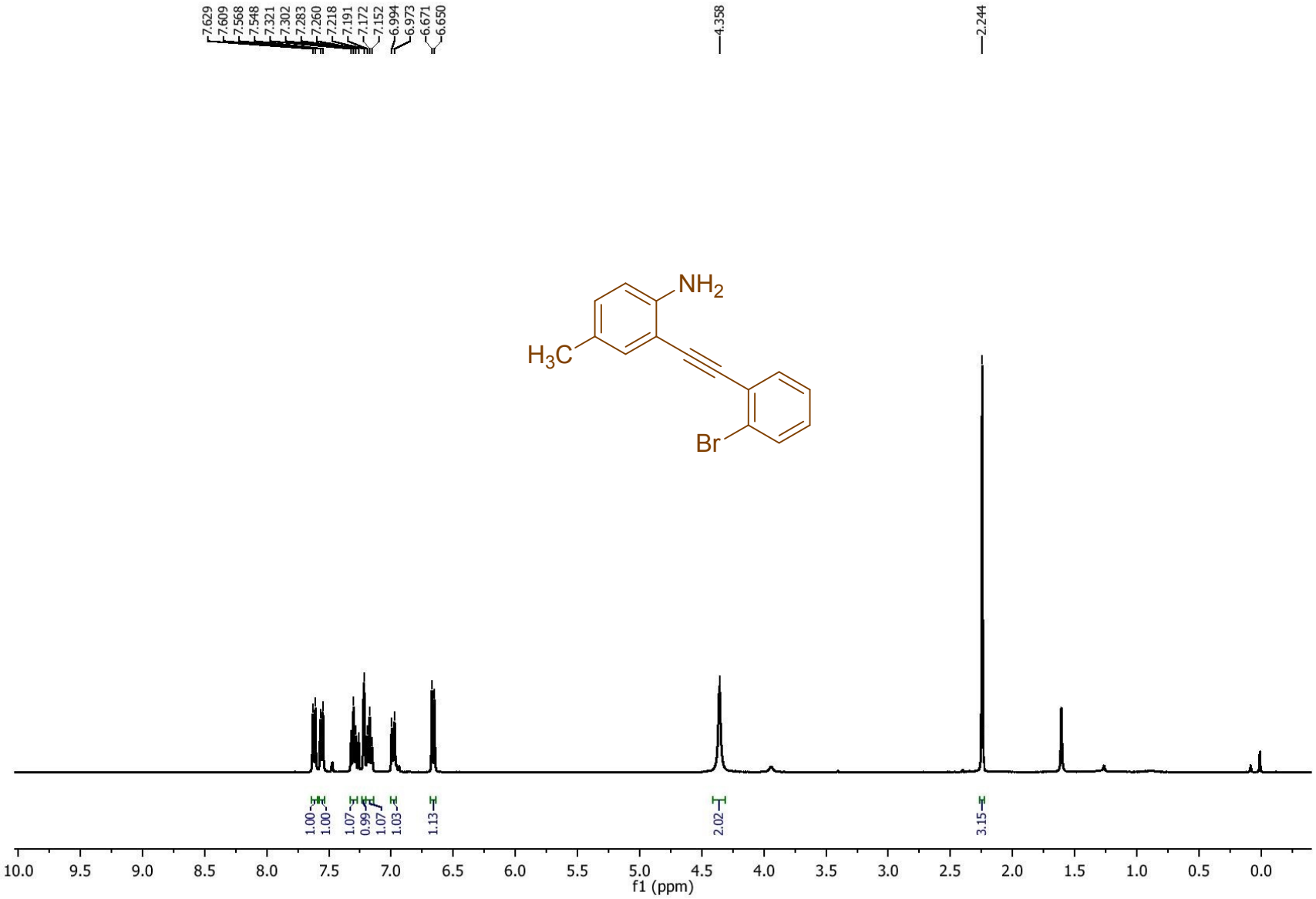
2-((2-Bromophenyl)ethynyl)aniline (1): ^{1}HNMR (400 MHz, CDCl3)



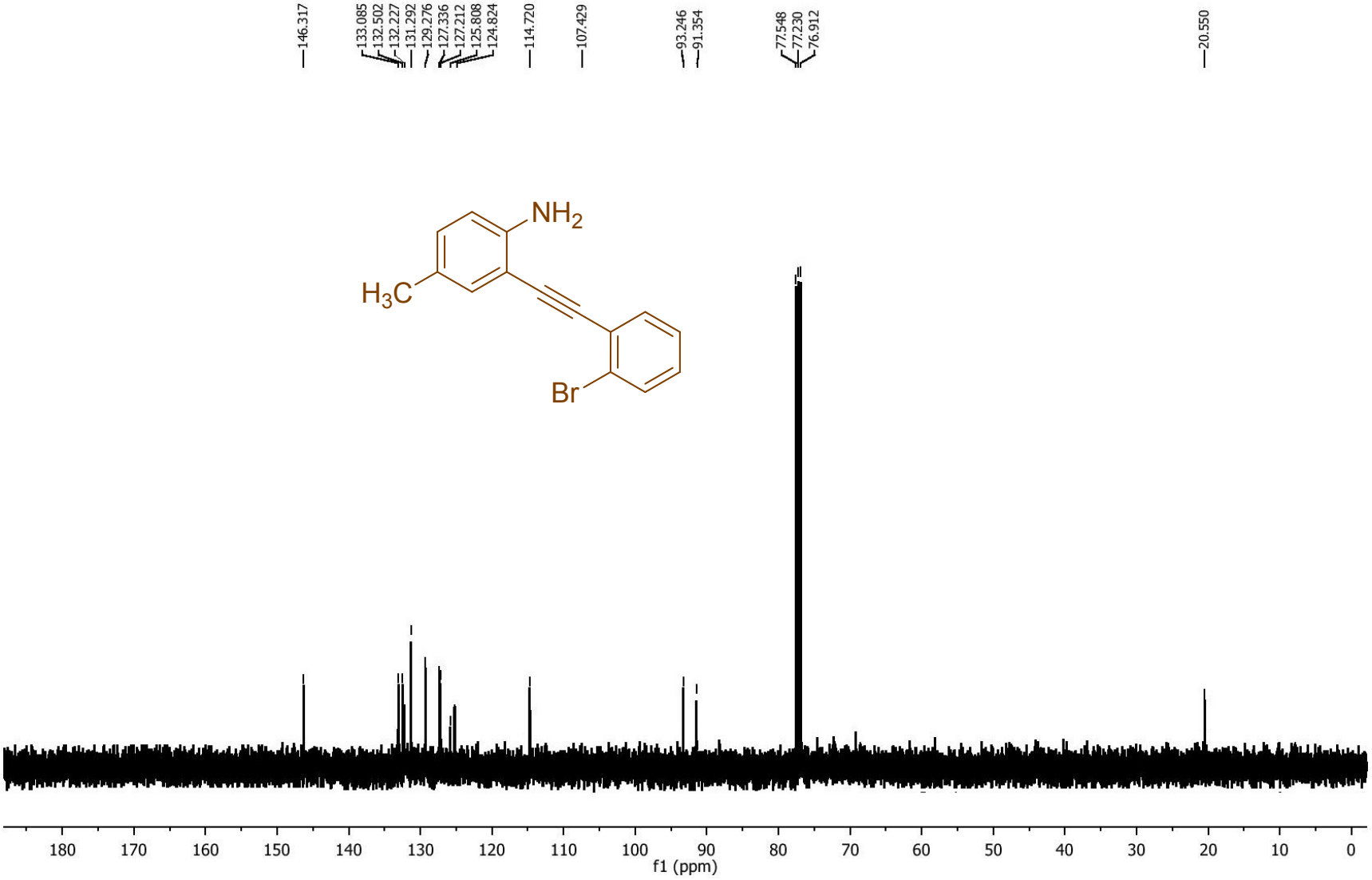
2-((2-Bromophenyl)ethynyl)aniline (1): ^{13}CNMR (100 MHz, CDCl3)



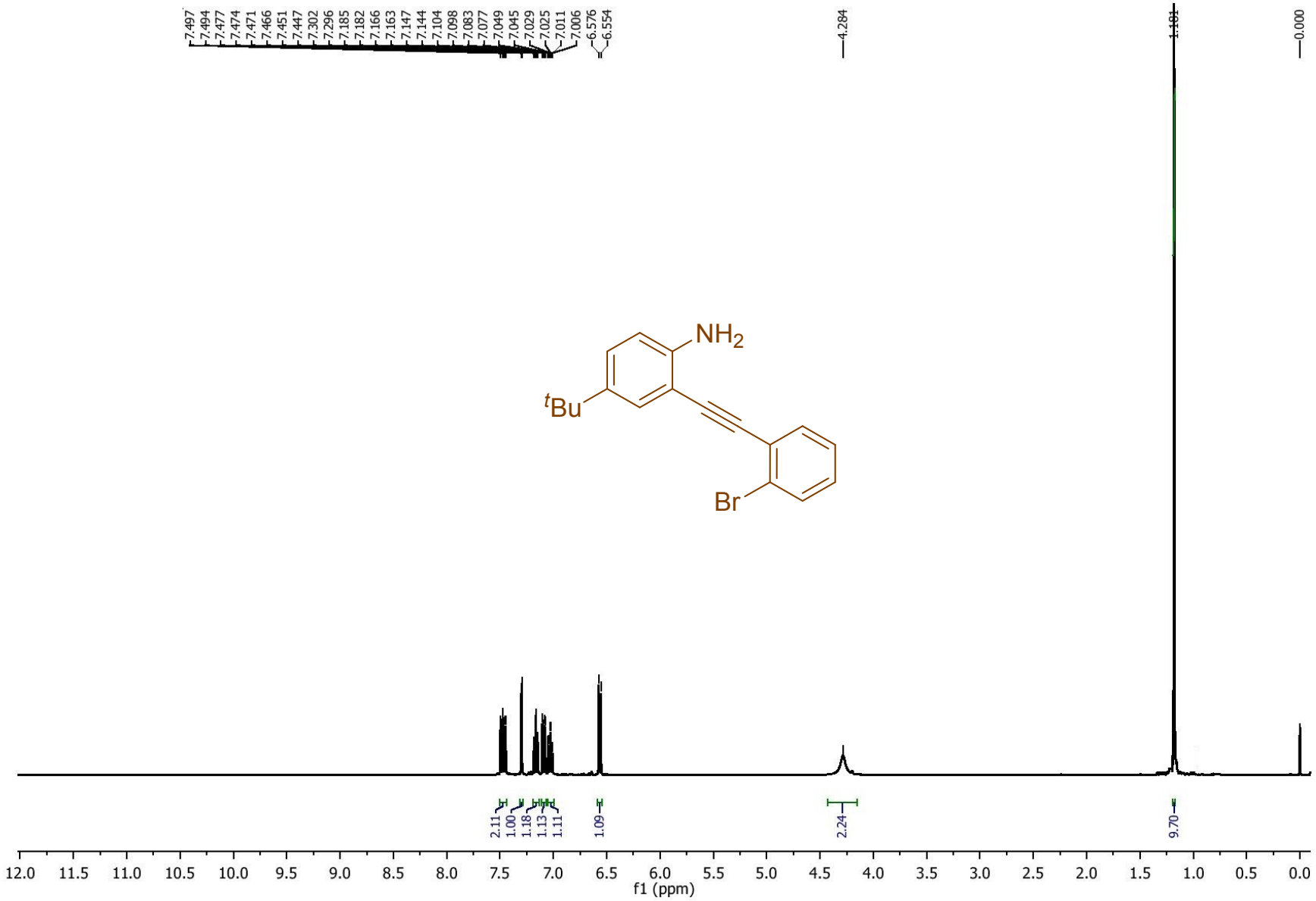
2-((2-Bromophenyl)ethynyl)-4-methylaniline (2): ^{1}HNMR (400 MHz, CDCl3)



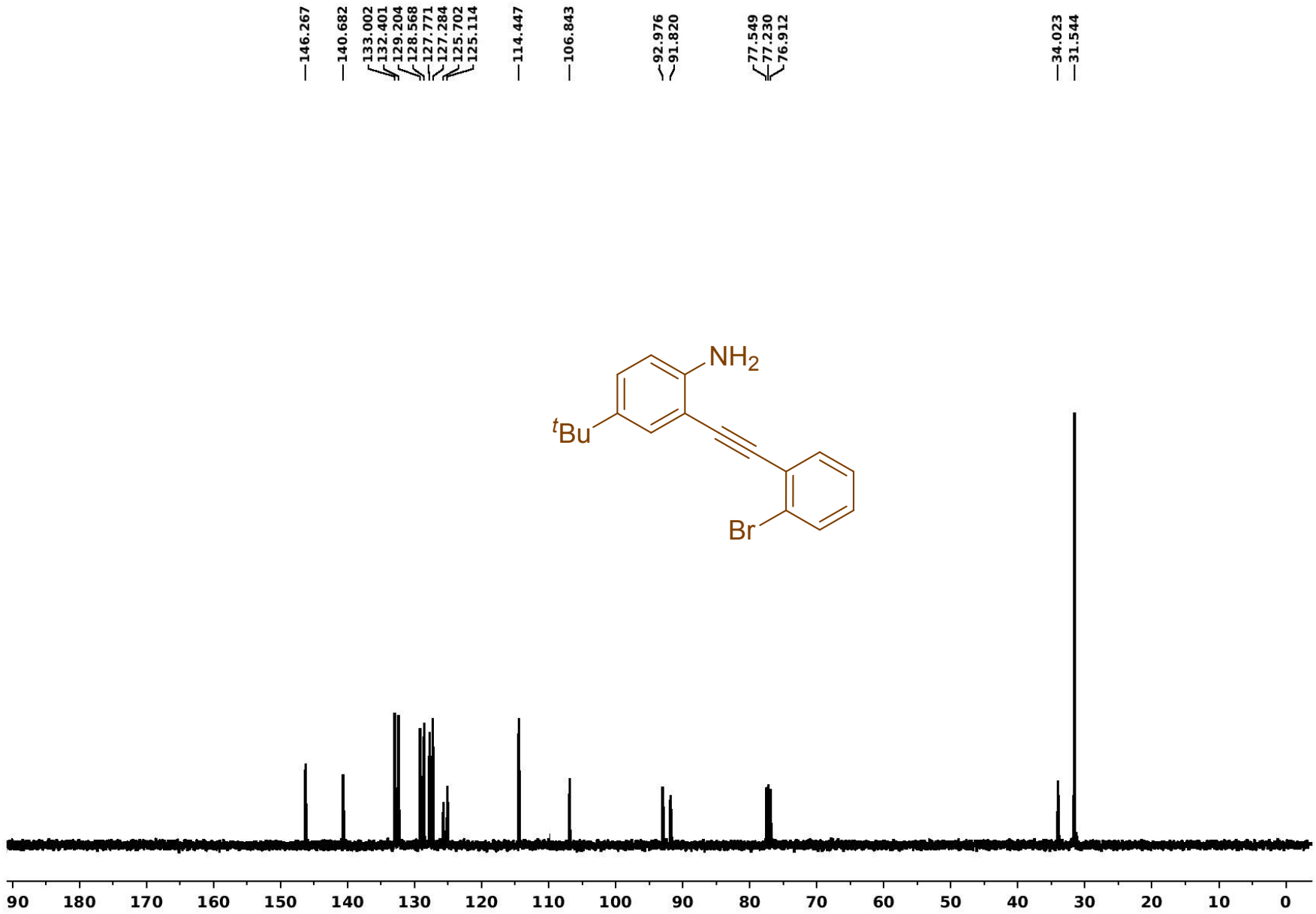
2-((2-Bromophenyl)ethynyl)-4-methylaniline (2): ^{13}CNMR (100 MHz, CDCl3)



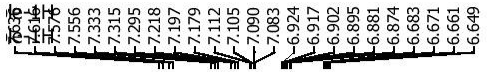
2-((2-Bromophenyl)ethynyl)-4-(tert-butyl)aniline (5): ^{1}HNMR (400 MHz, CDCl3)

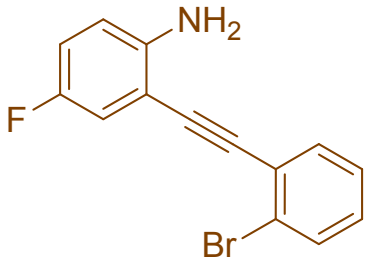


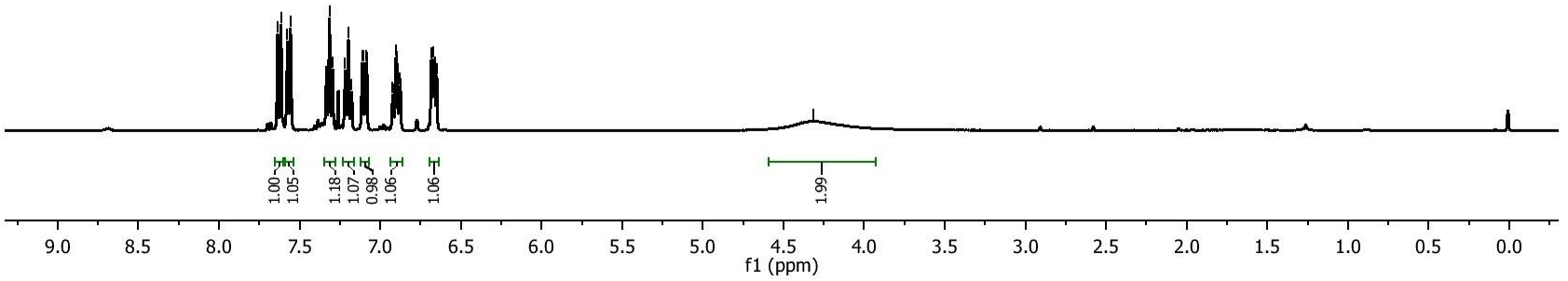
2-((2-Bromophenyl)ethynyl)-4-(tert-butyl)aniline (5): ^{13}CNMR (100 MHz, CDCl3)



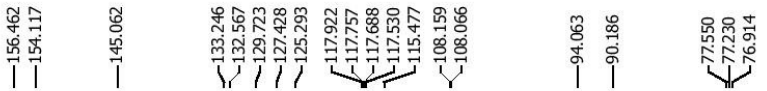
2-((2-Bromophenyl)ethynyl)-4-fluoroaniline (8): ^{1}HNMR (400 MHz, CDCl3)

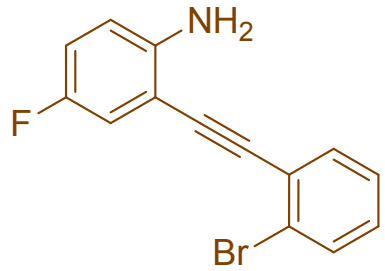


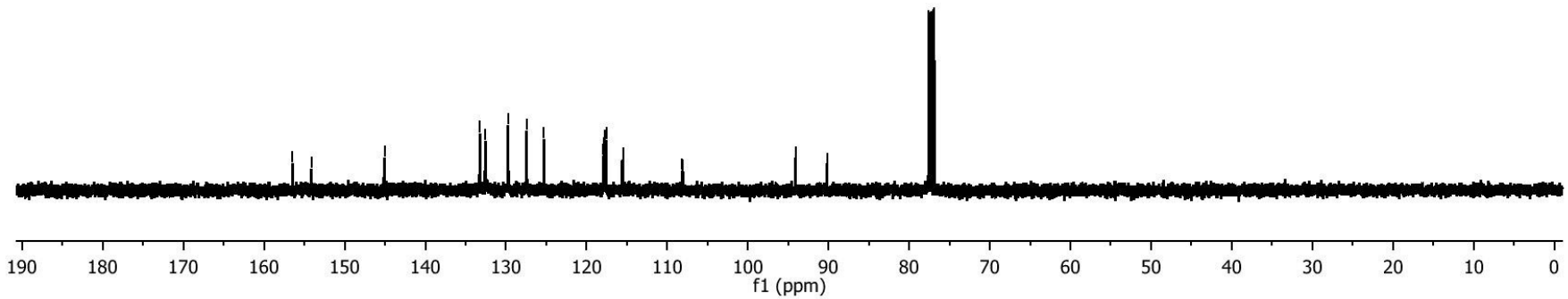




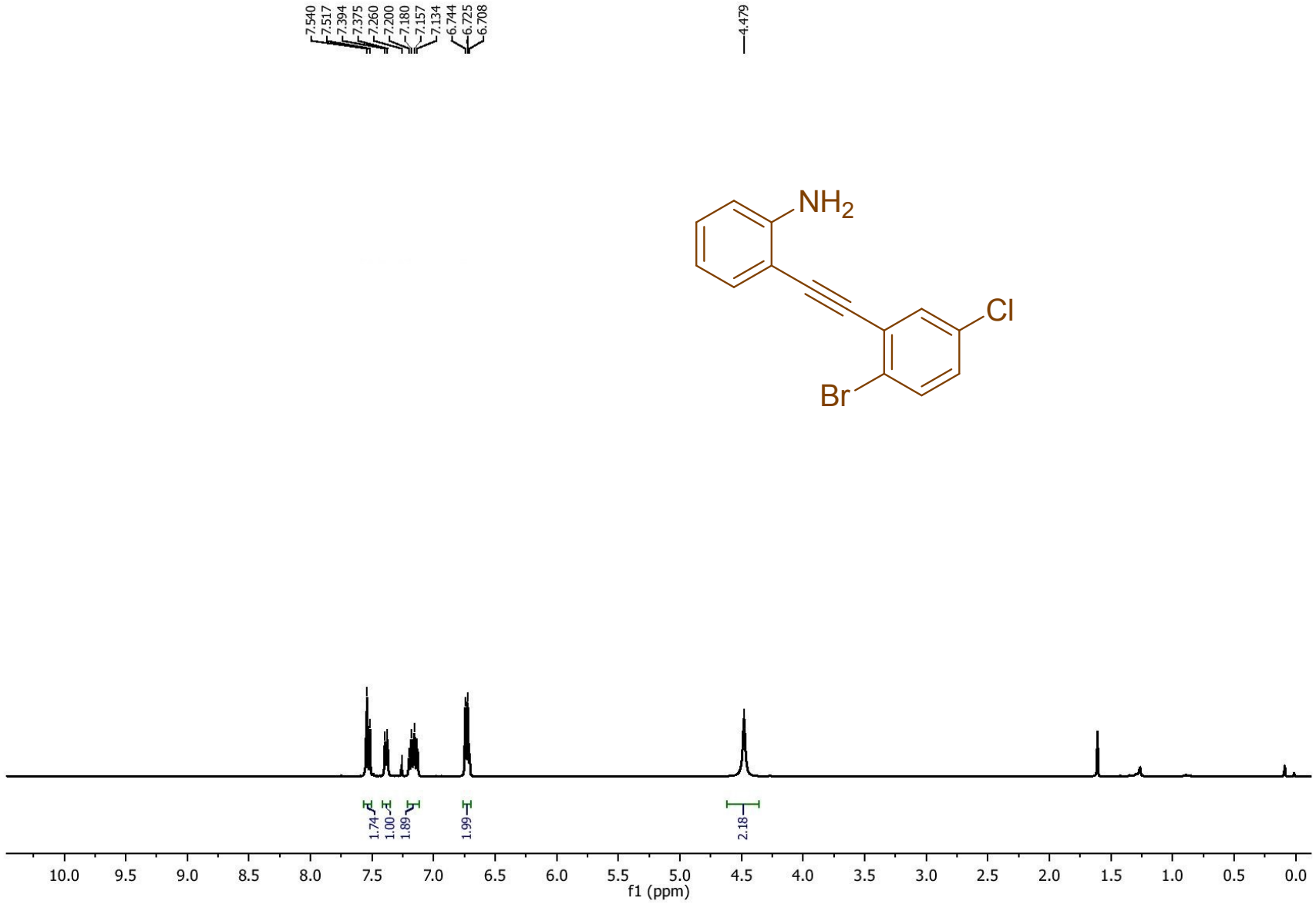
2-((2-Bromophenyl)ethynyl)-4-fluoroaniline (8): ^{13}CNMR (100 MHz, CDCl3)



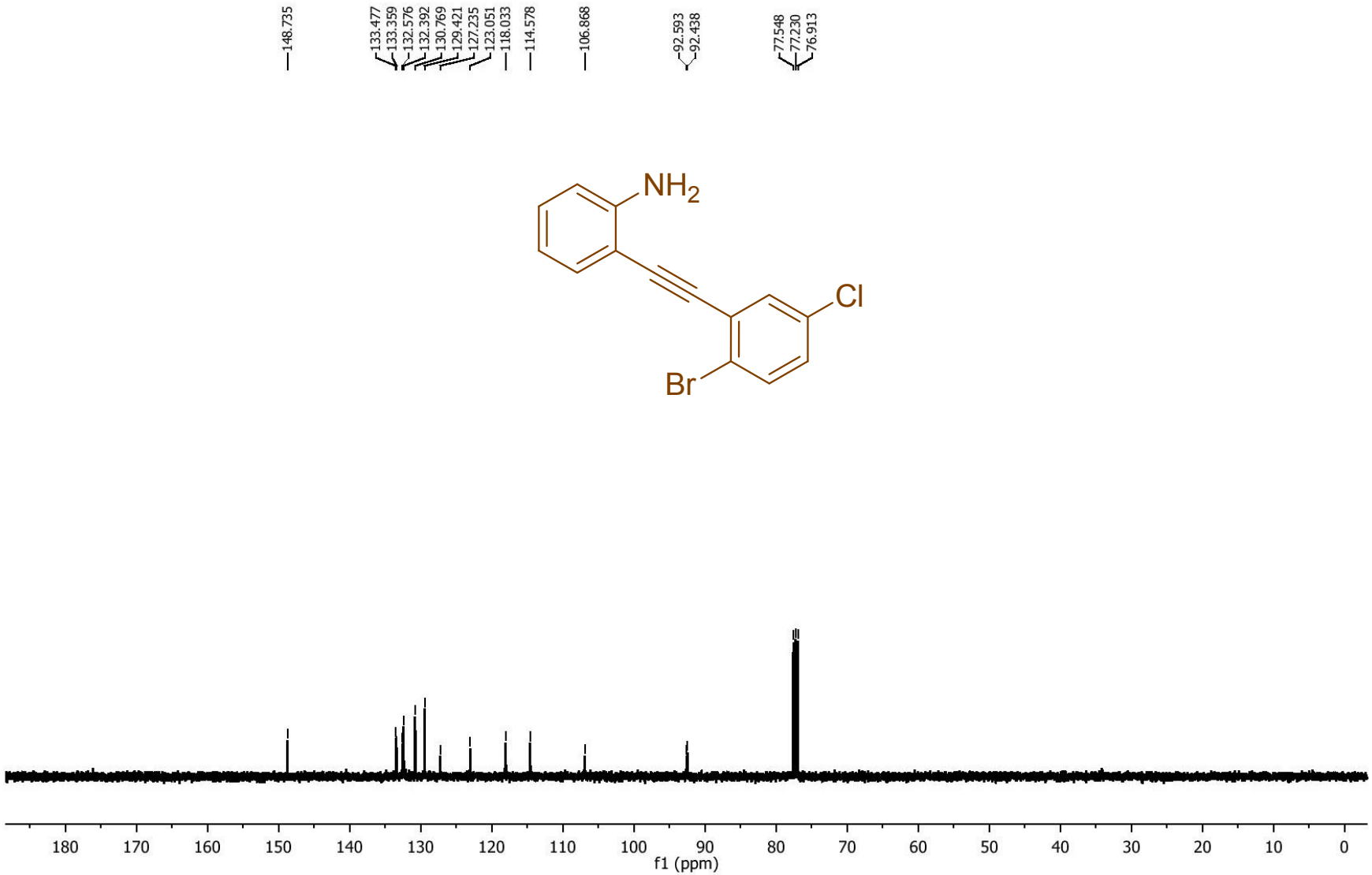




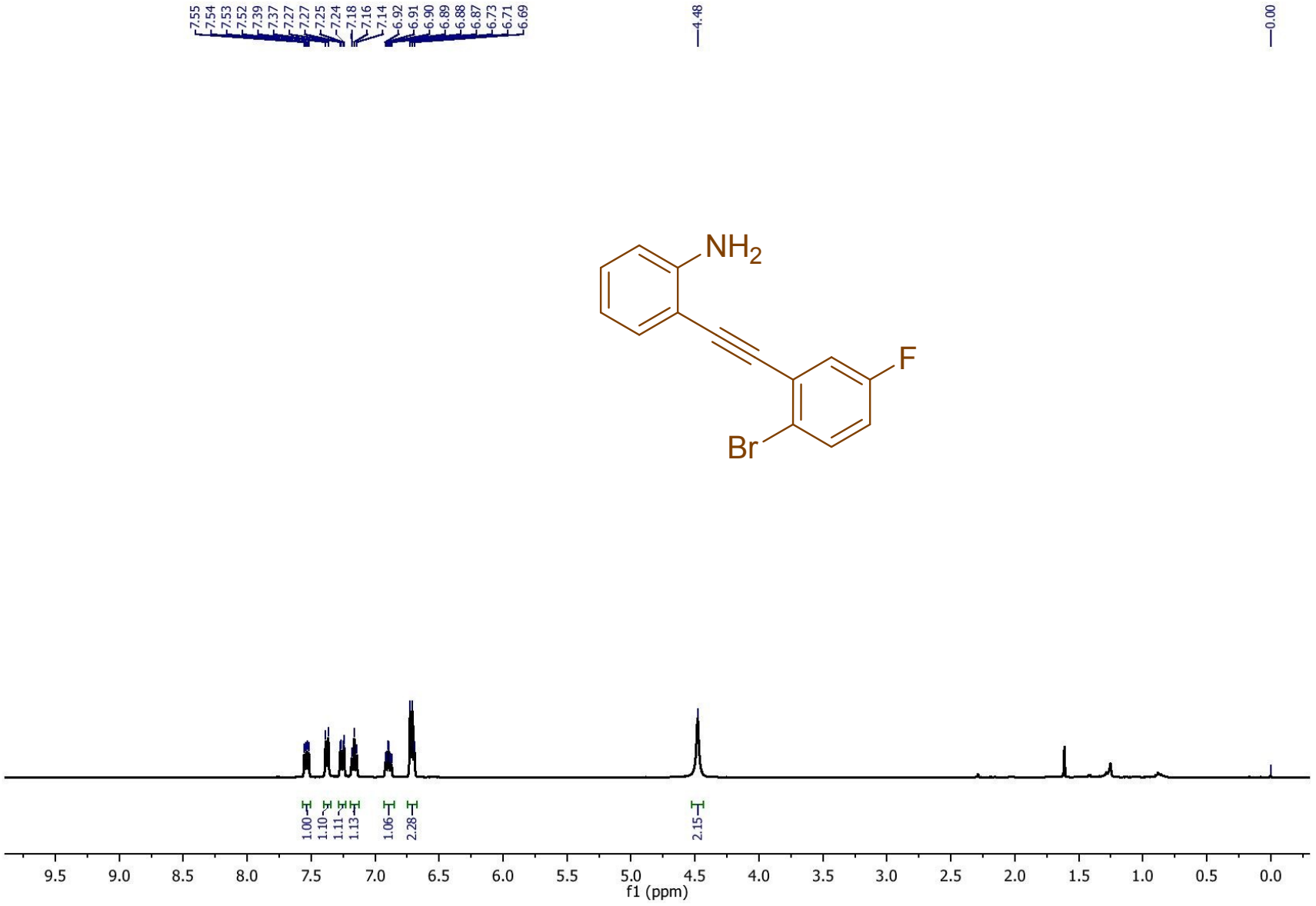
2-((2-Bromo-5-chlorophenyl)ethynyl)aniline (16): ^{1}HNMR (400 MHz, CDCl3)



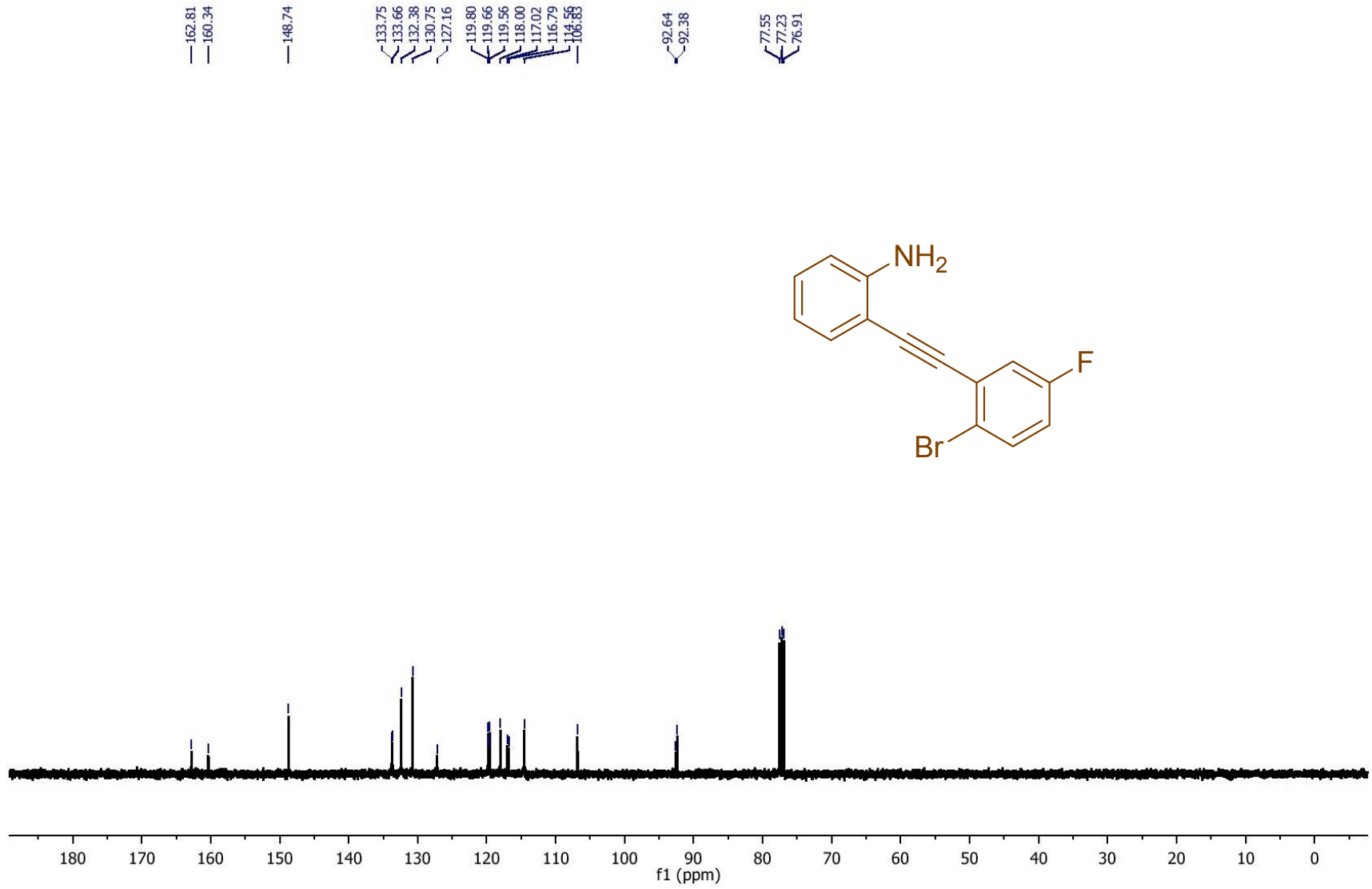
2-((2-Bromo-5-chlorophenyl)ethynyl)aniline (16): ^{13}CNMR (100 MHz, CDCl3)



2-((2-Bromo-5-fluorophenyl)ethynyl)aniline (17): ^{1}HNMR (400 MHz, CDCl3)

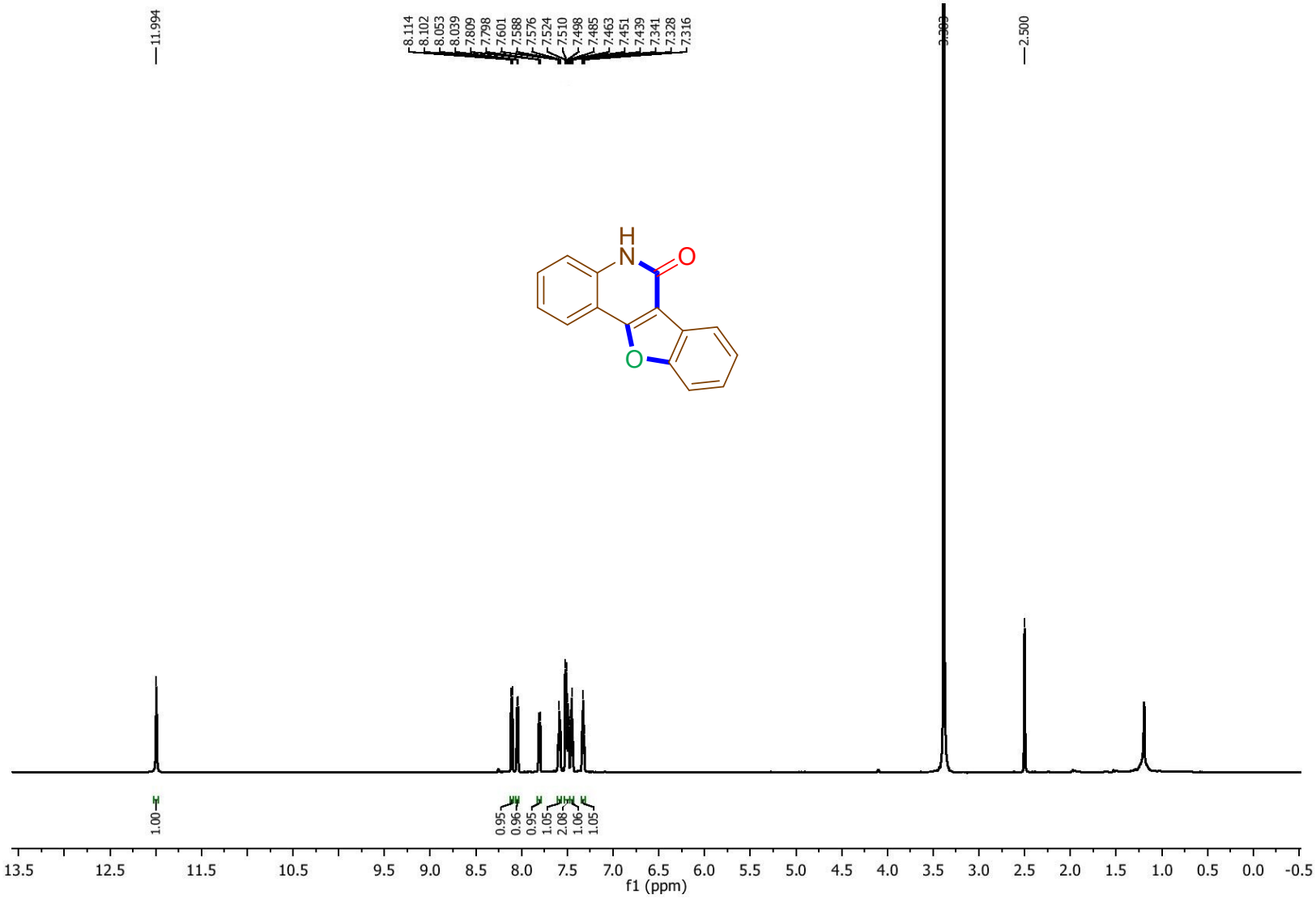


2-((2-Bromo-5-fluorophenyl)ethynyl)aniline (17): ^{13}CNMR (100 MHz, CDCl3)

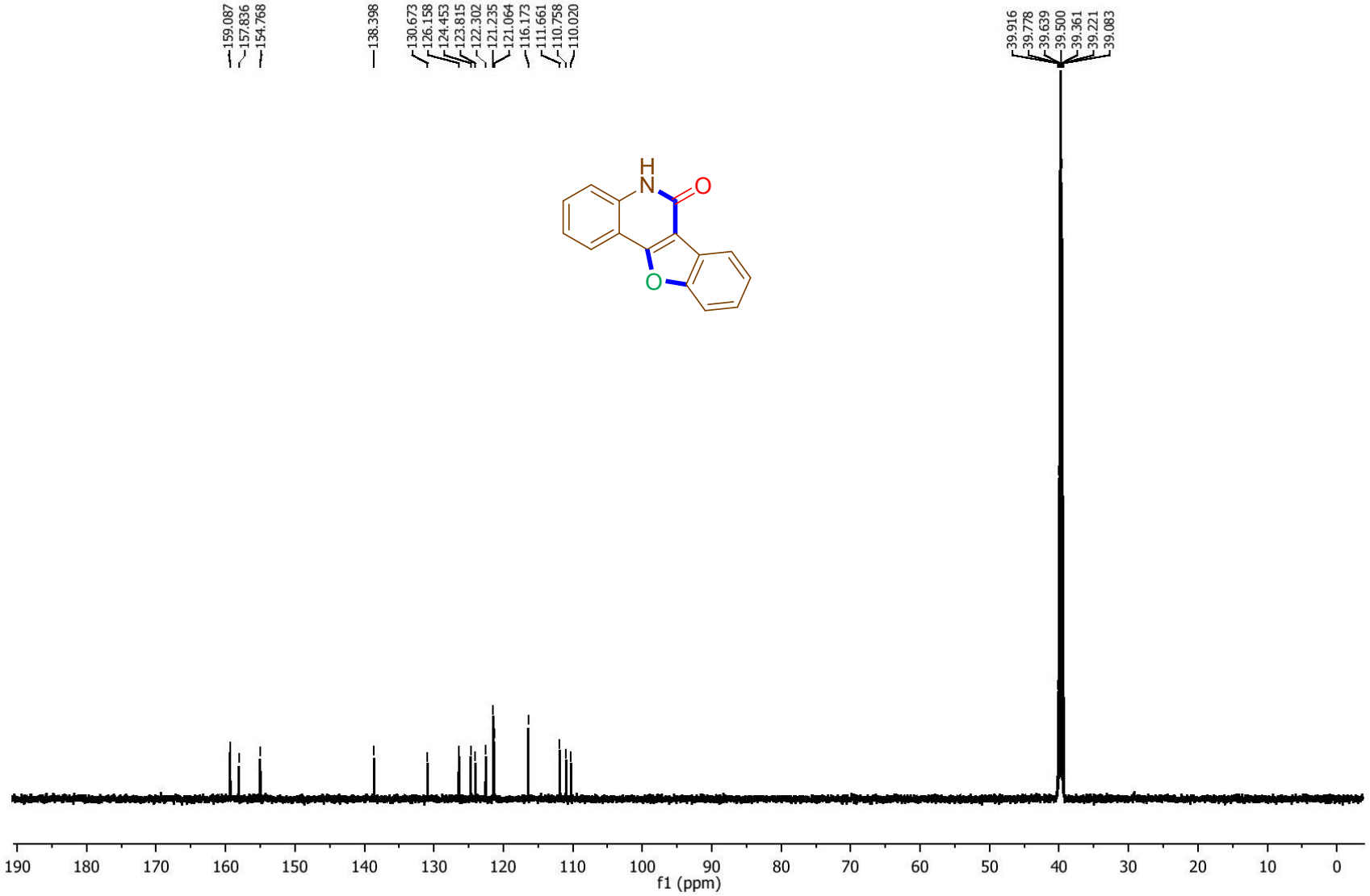


Spectra of products

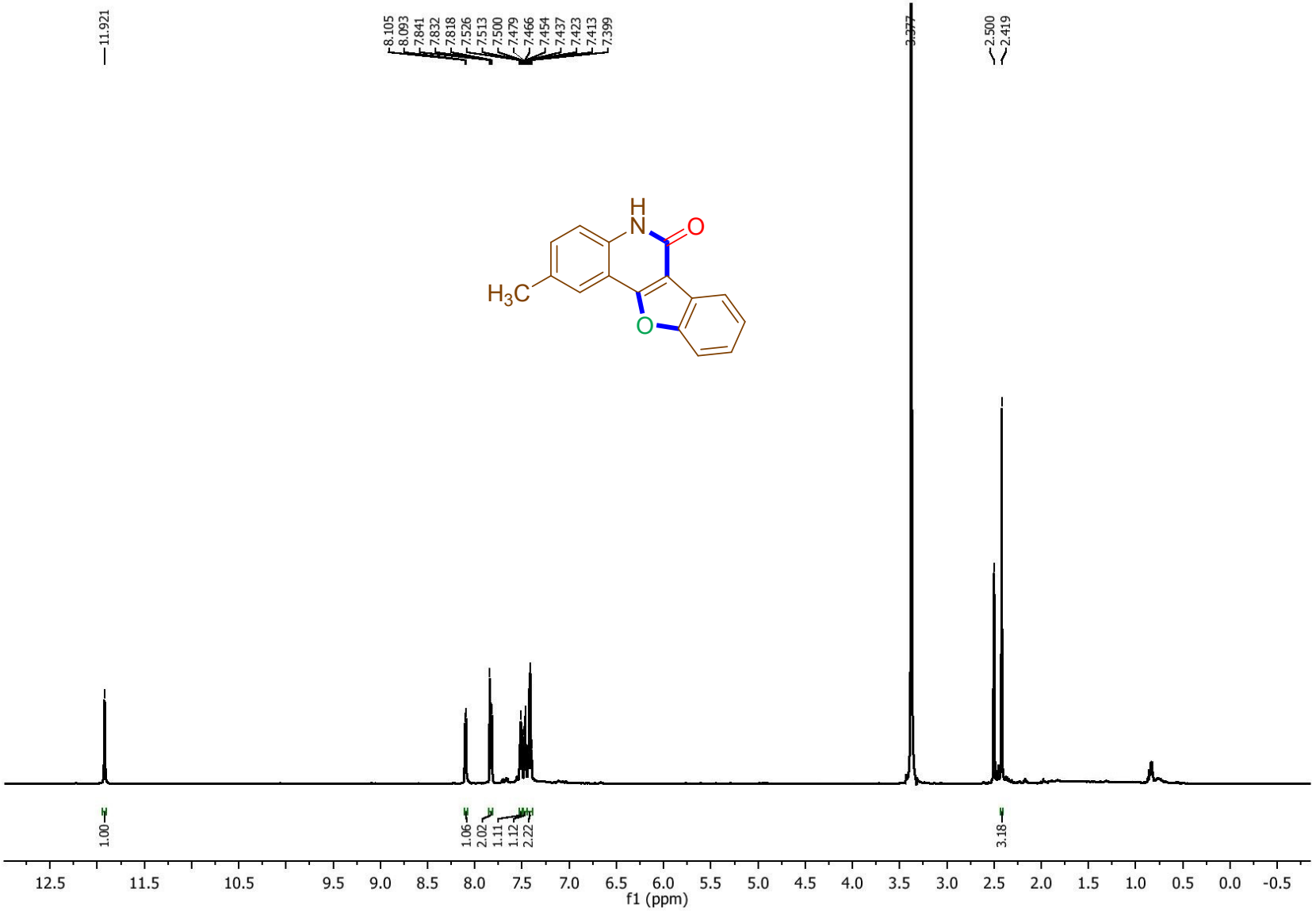
Benzofuro[3,2-*c*]quinolin-6(5*H*)-one (1a): ^{1}HNMR (600 MHz, DMSO-d_6)



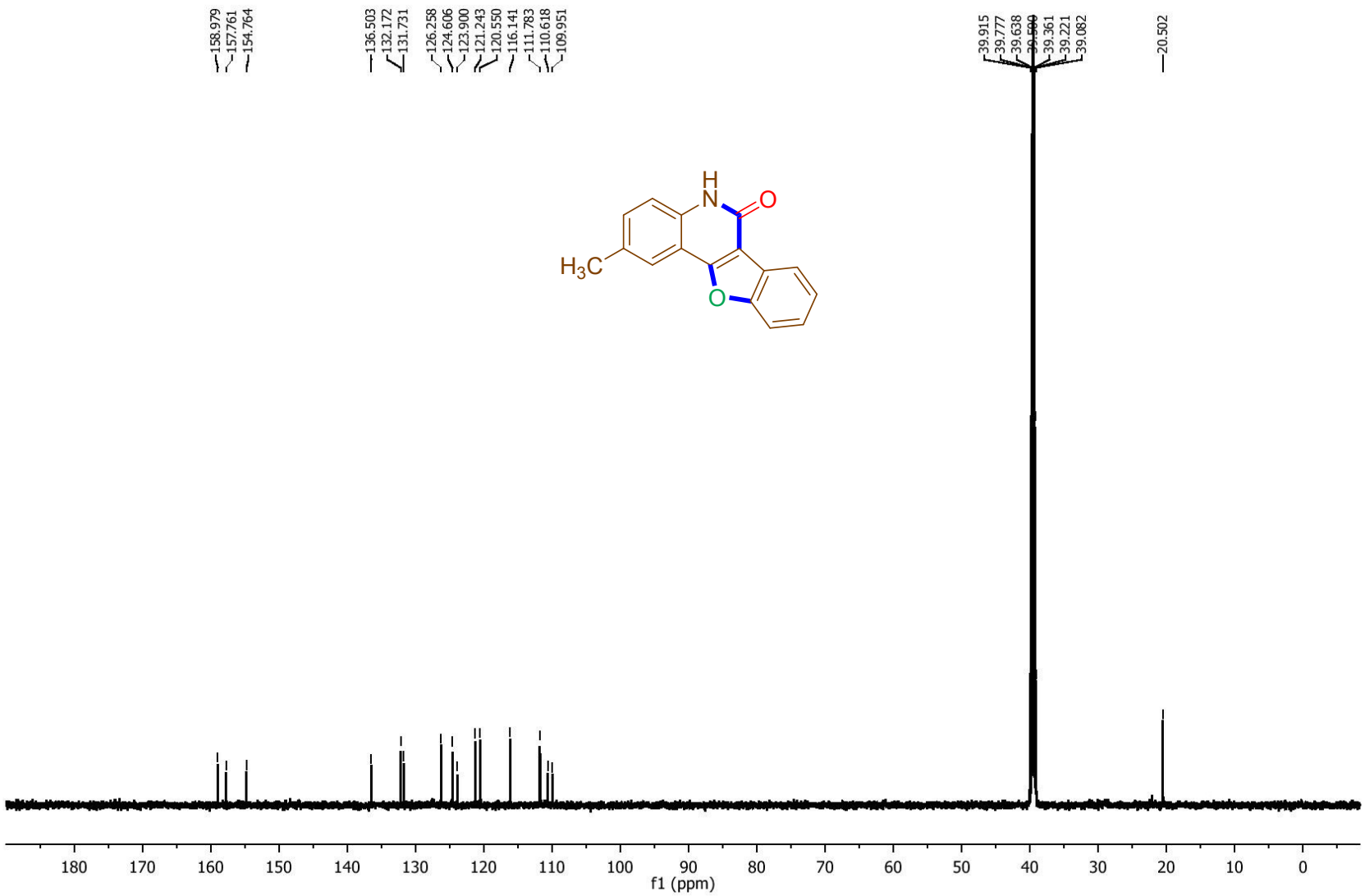
Benzofuro[3,2-*c*]quinolin-6(5*H*)-one (1a): ^{13}CNMR (150 MHz, DMSO-d_6)



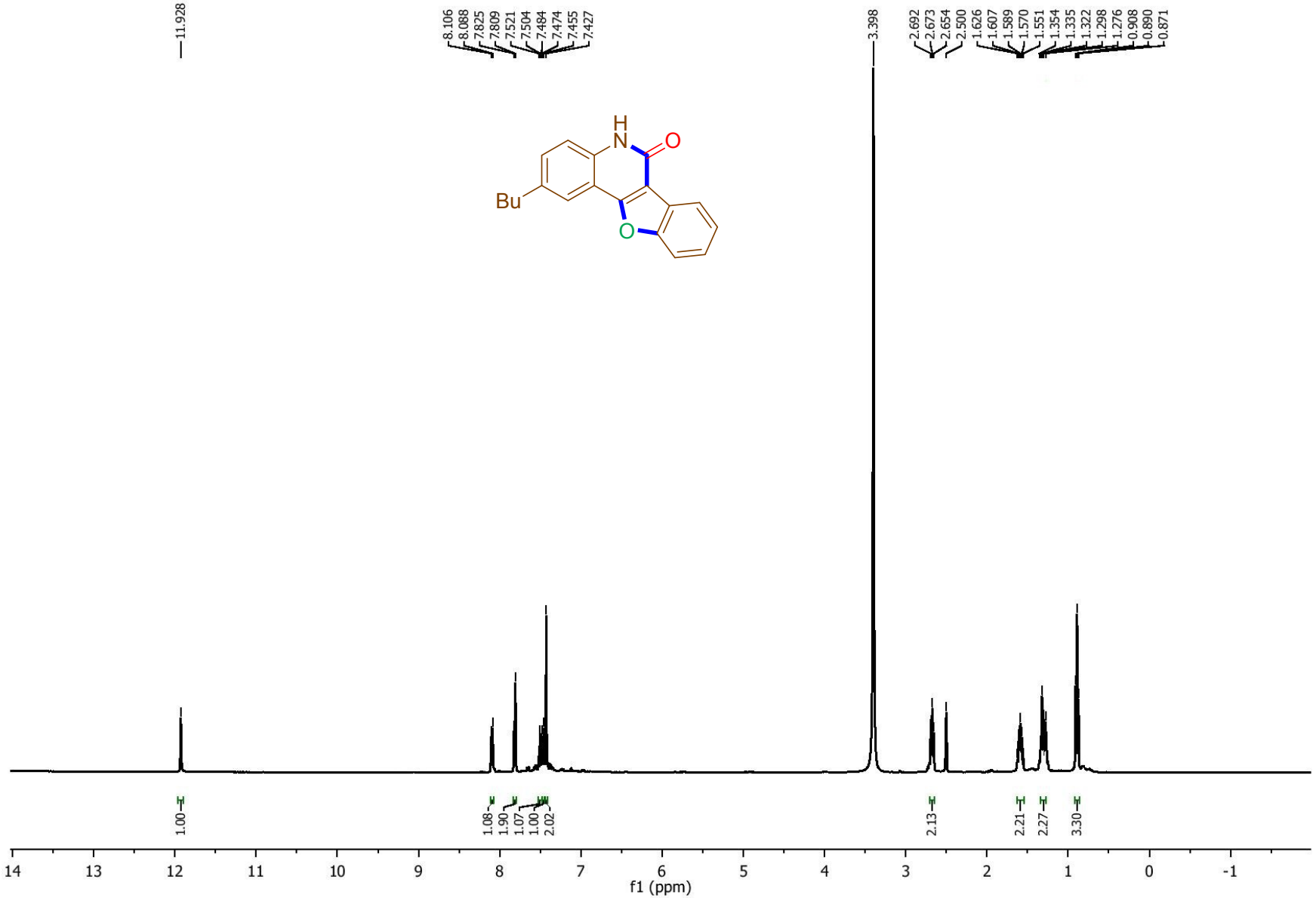
2-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (2a): ^{1}HNMR (600 MHz, DMSO-d_6)



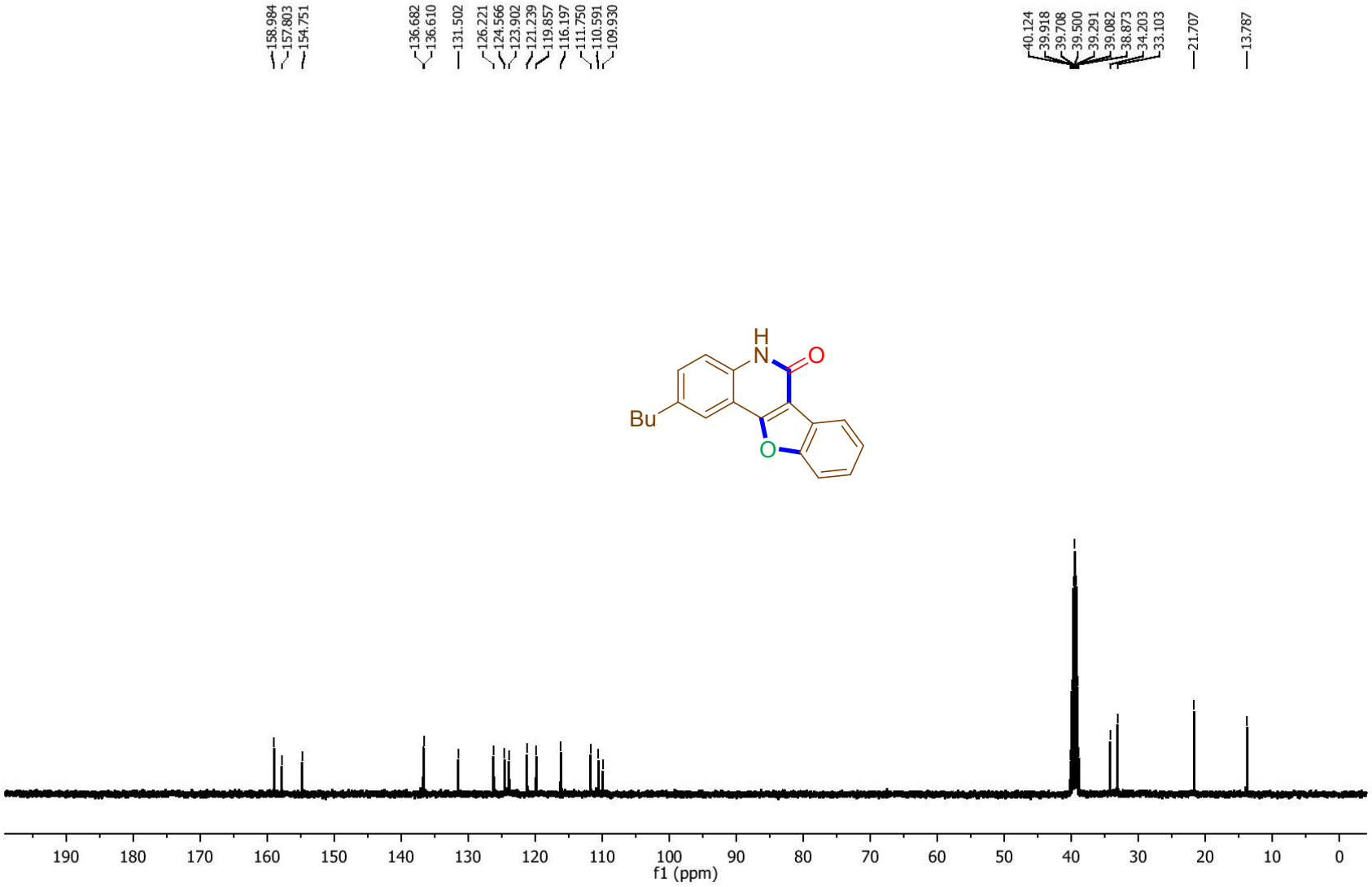
2-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (2a): ^{13}CNMR (150 MHz, DMSO-d_6)



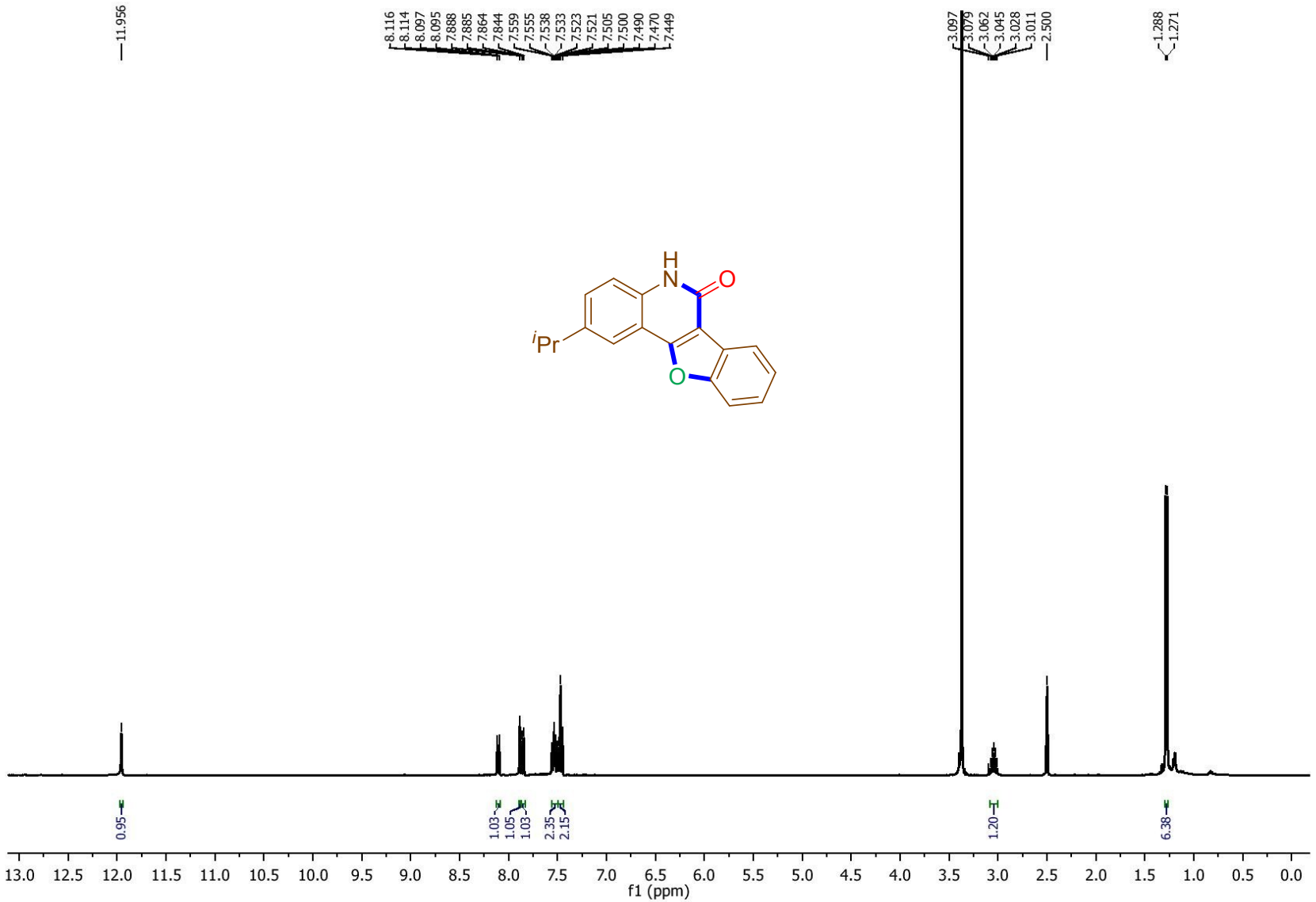
2-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (3a): ^{1}HNMR (400 MHz, DMSO-d_6)



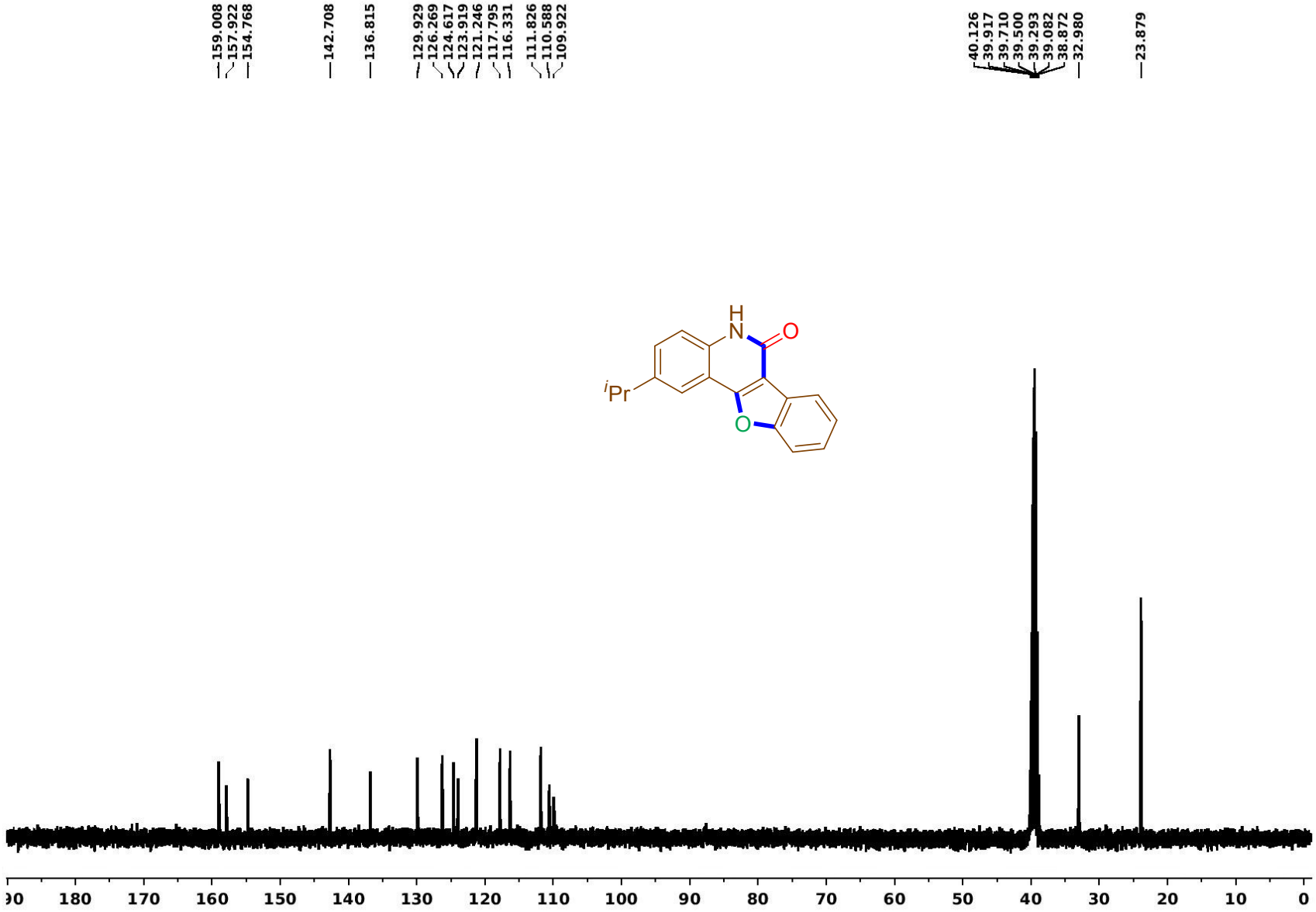
2-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (3a): ^{13}CNMR (100 MHz, DMSO-d_6)



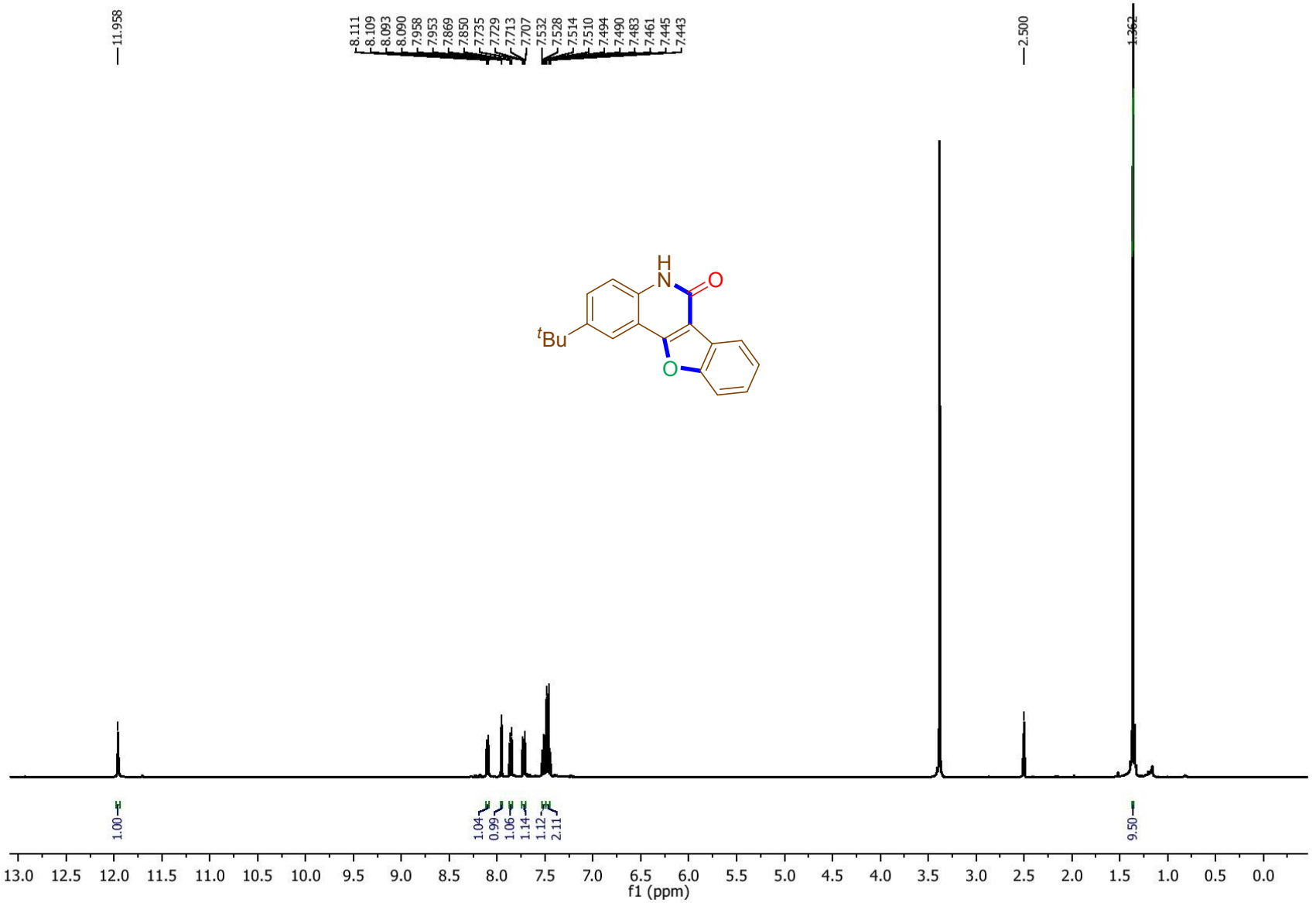
2-Isopropylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (4a): ^{1}HNMR (400 MHz, DMSO-d_6)



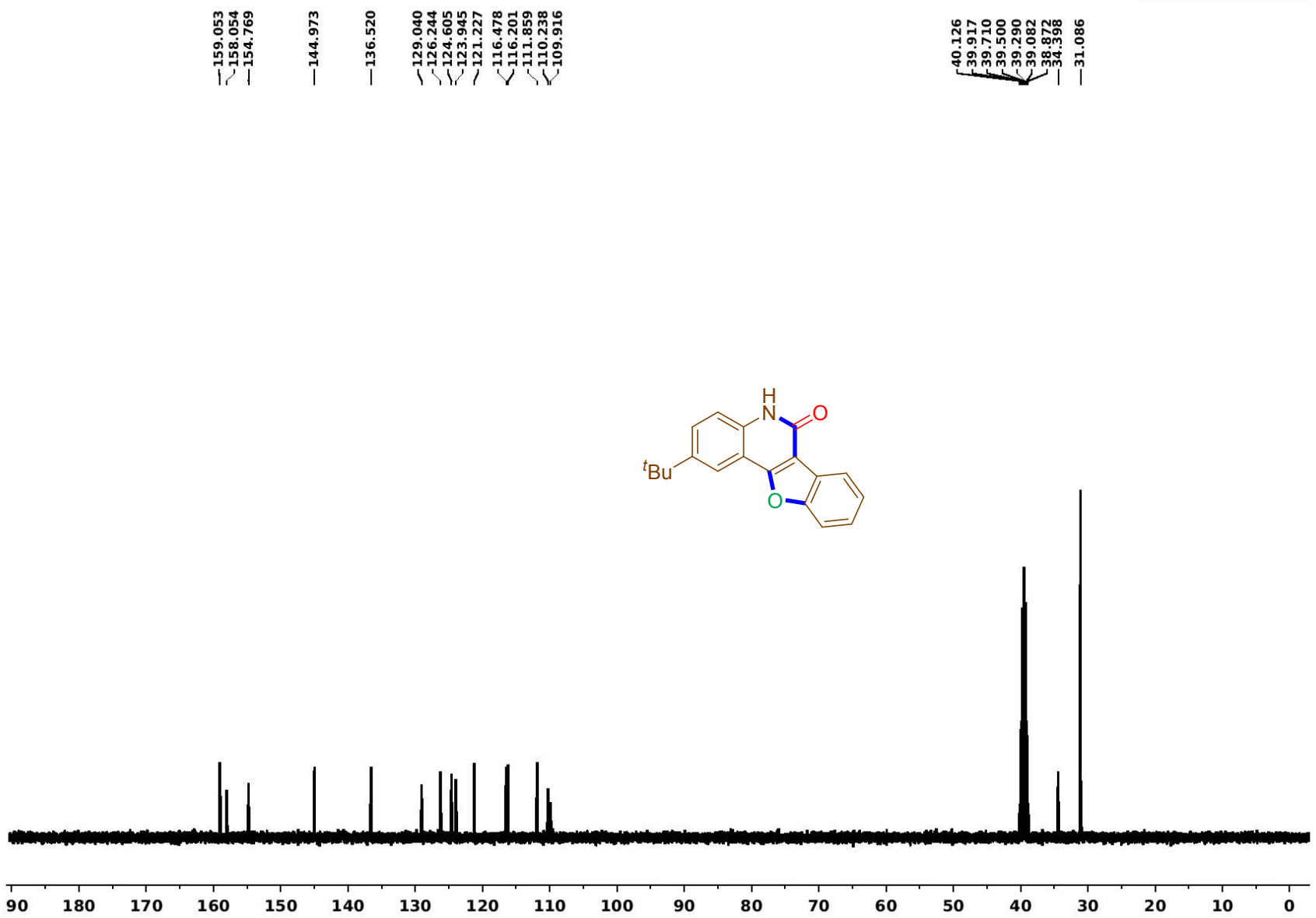
2-Isopropylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (4a): ^{13}CNMR (100 MHz, DMSO-d_6)



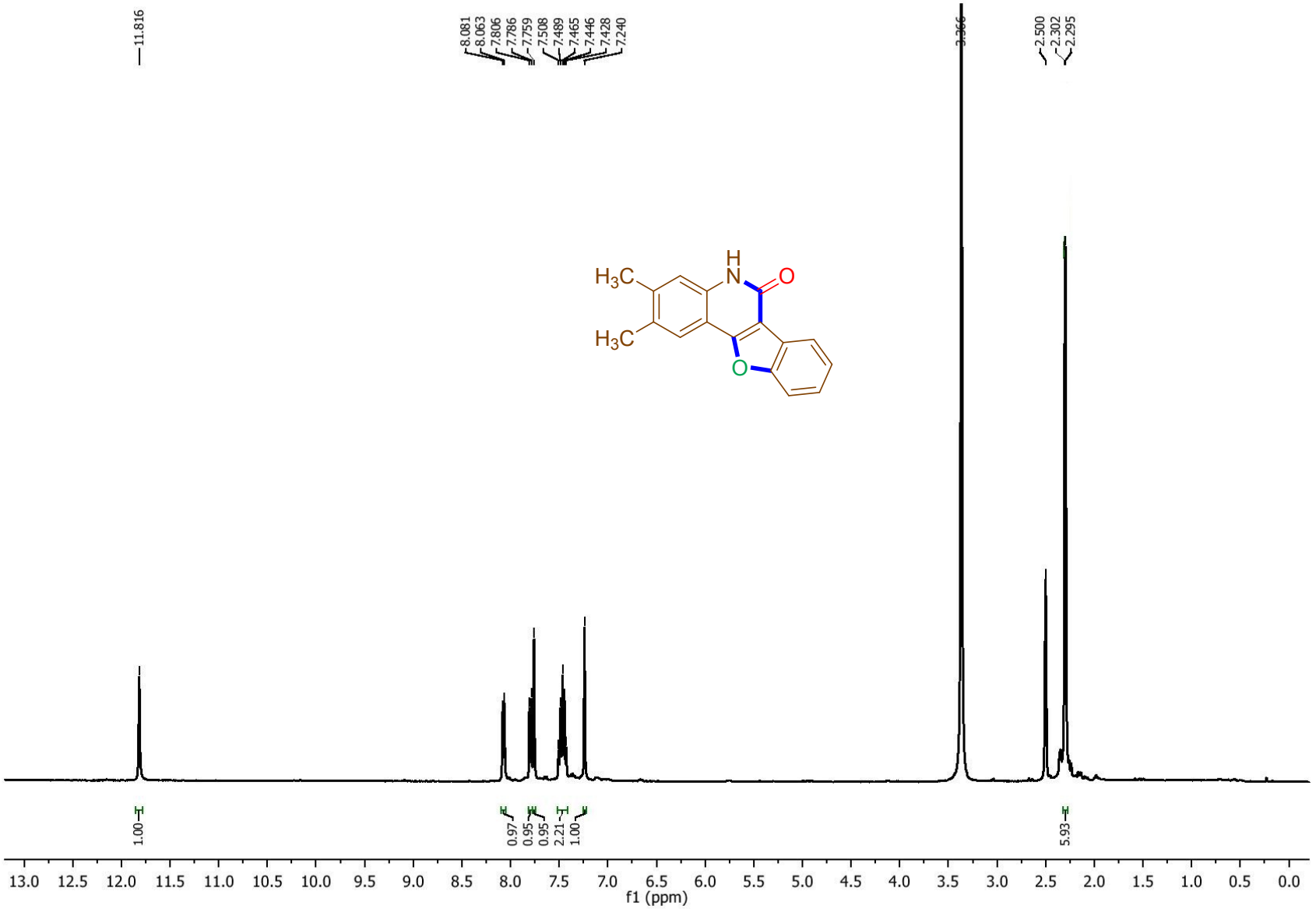
2-(Tert-butyl)benzofuro[3,2-*c*]quinolin-6(5*H*)-one (5a): ^{1}HNMR (400 MHz, DMSO-d_6)



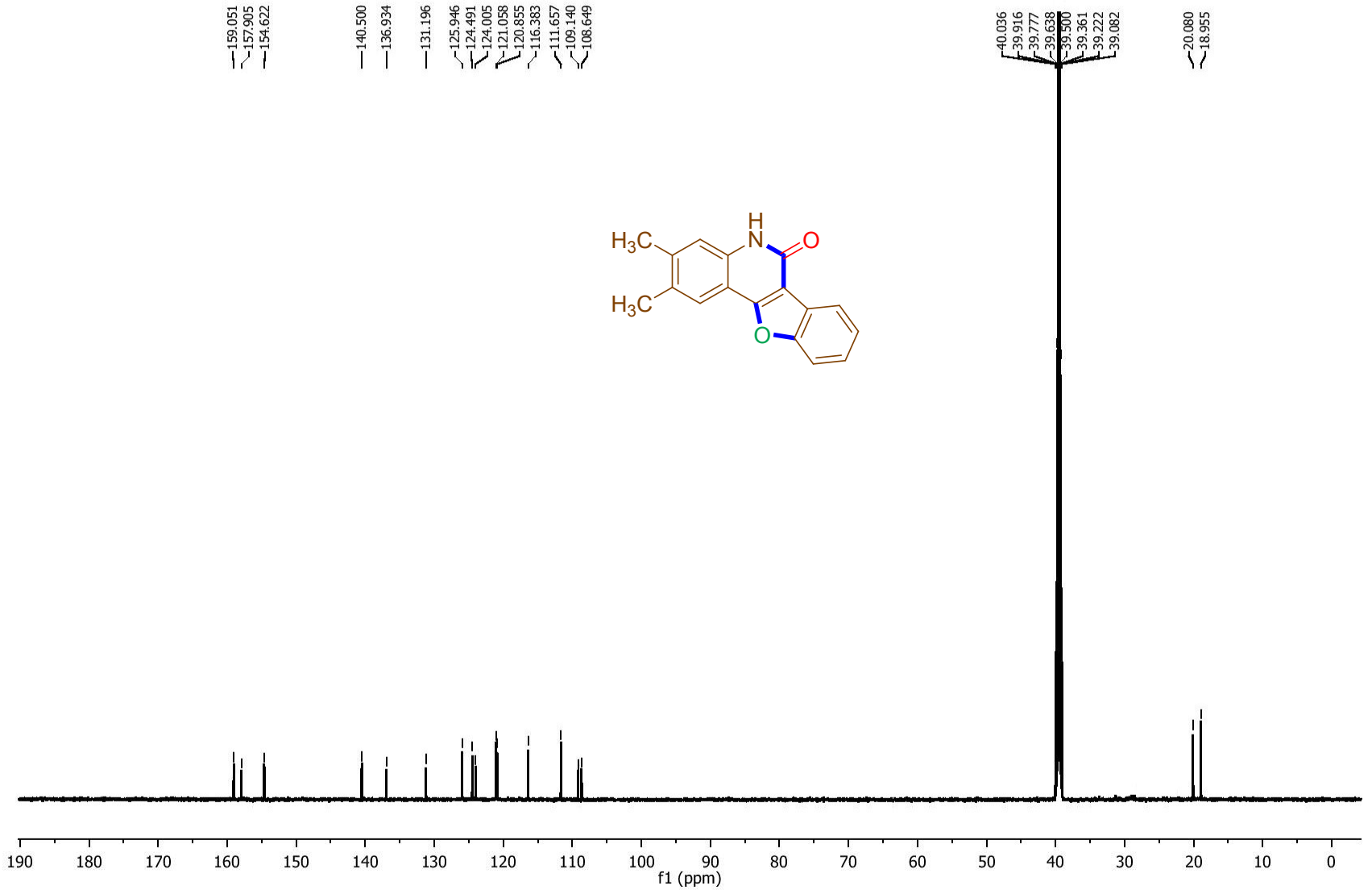
2-(Tert-butyl)benzofuro[3,2-*c*]quinolin-6(5*H*)-one (5a): ^{13}CNMR (100 MHz, DMSO-d_6)



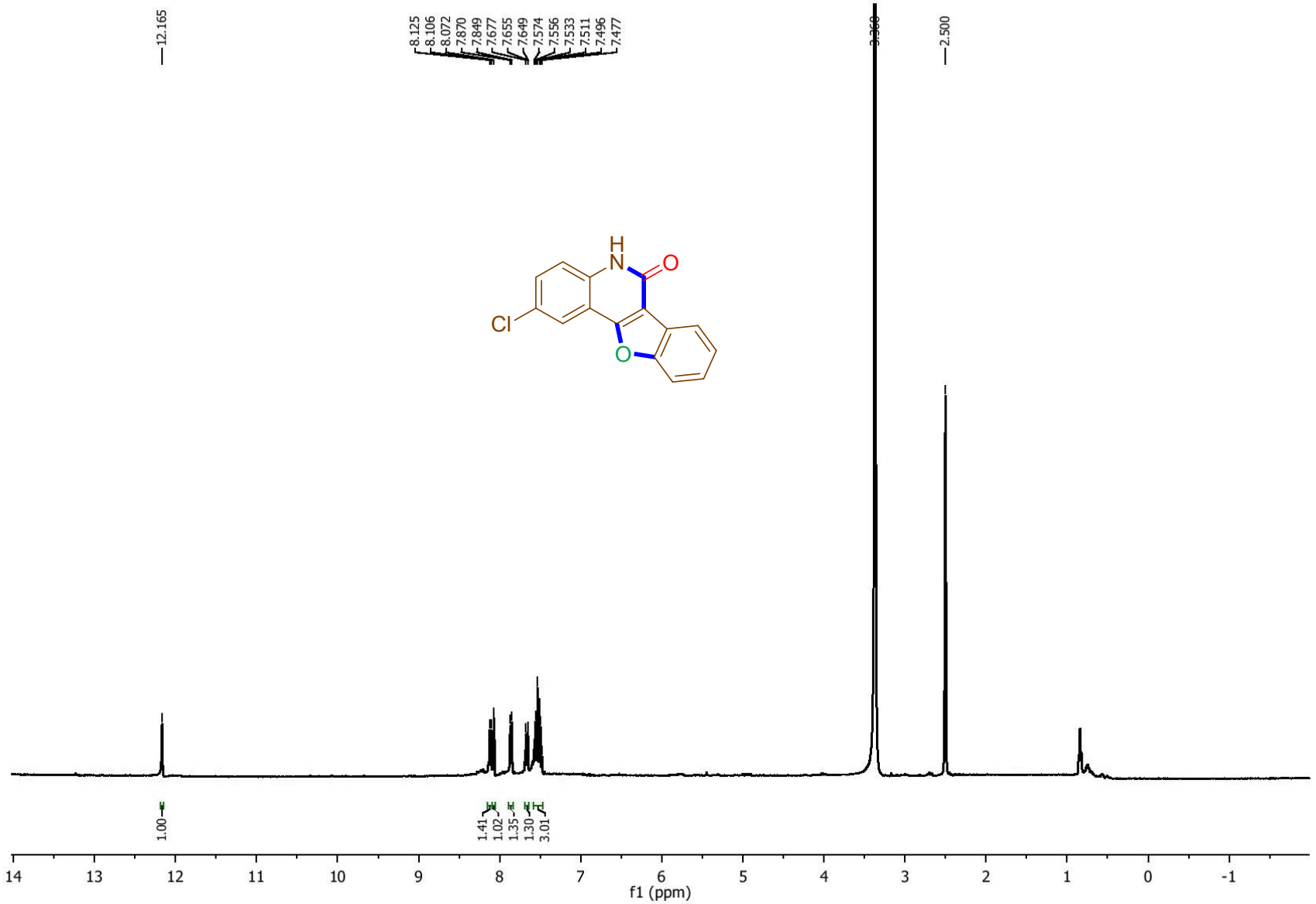
2,3-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (6a): ^{1}HNMR (400 MHz, DMSO-d_6)



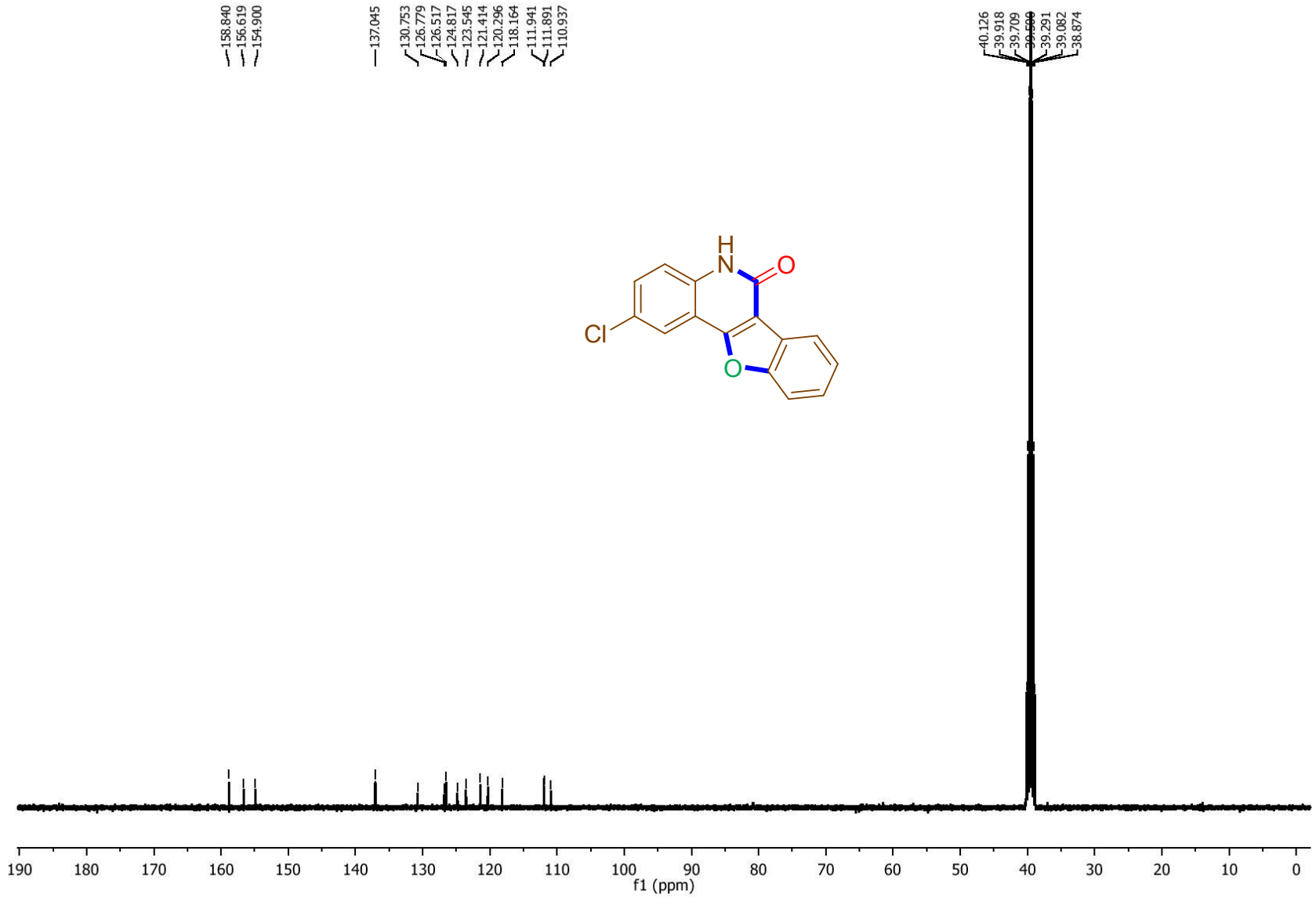
2,3-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (6a): ^{13}CNMR (100 MHz, DMSO-d_6)



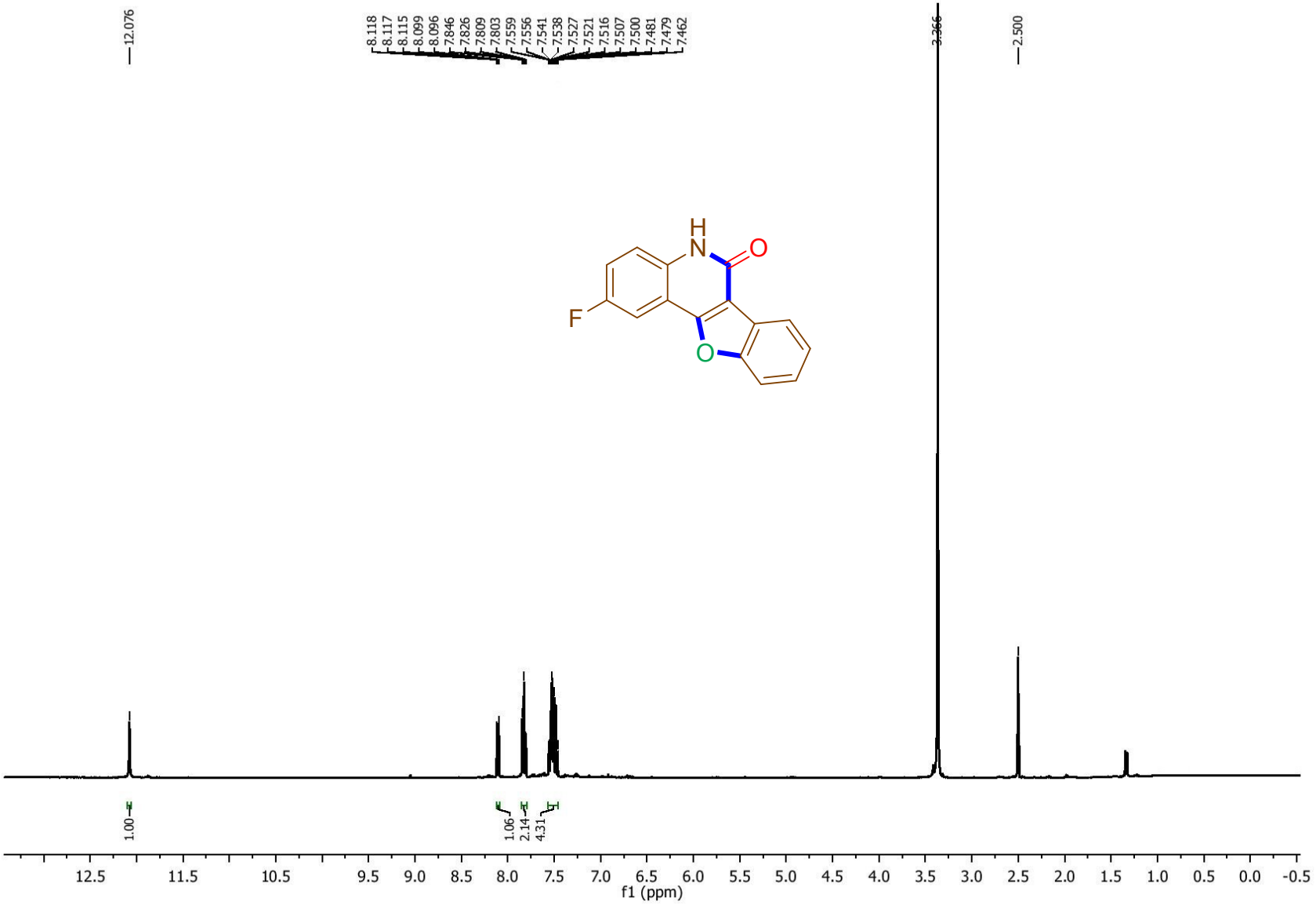
2-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (7a): ^{1}HNMR (400 MHz, DMSO-d_6)



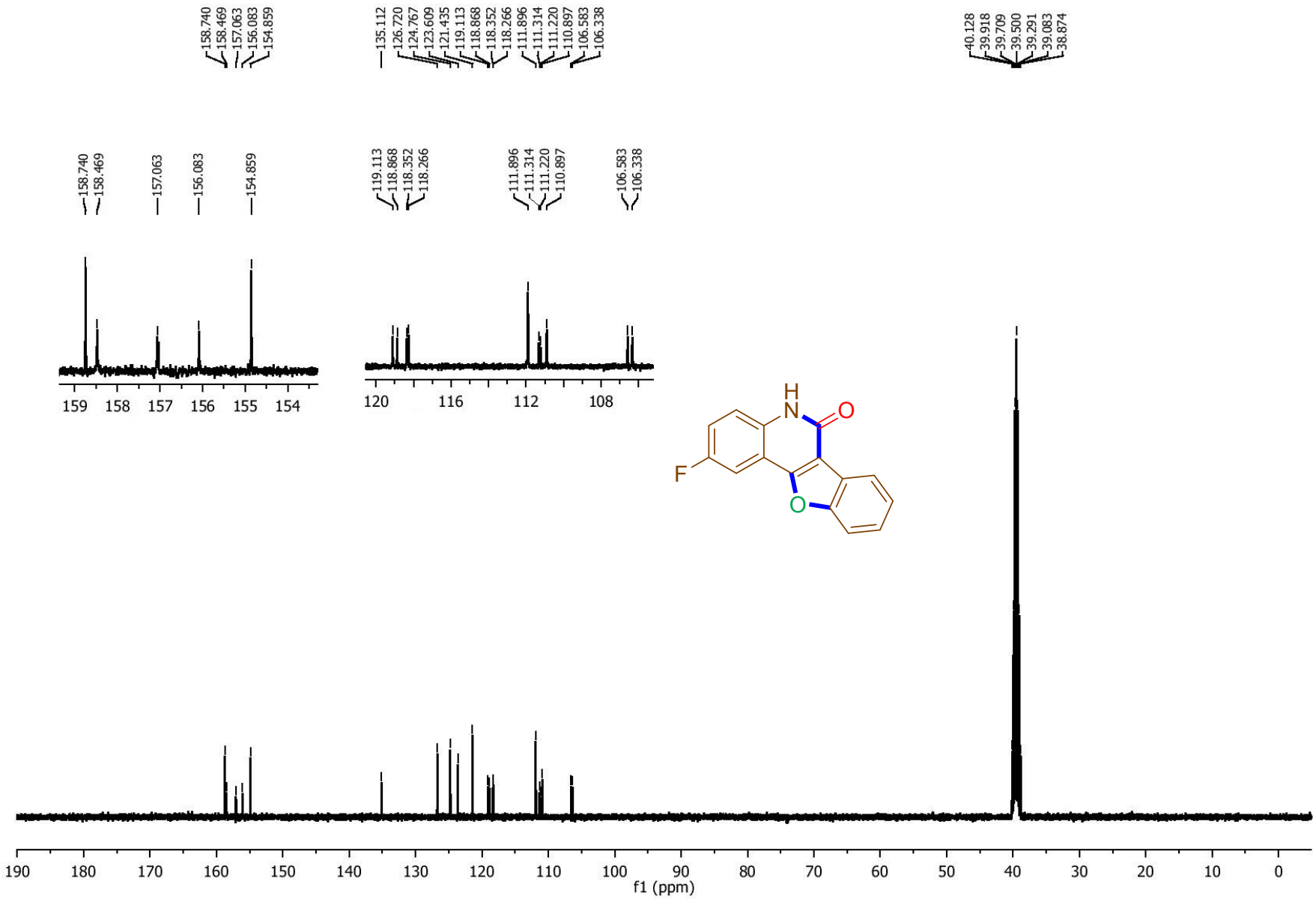
2-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (7a): ^{13}CNMR (100 MHz, DMSO-d_6)



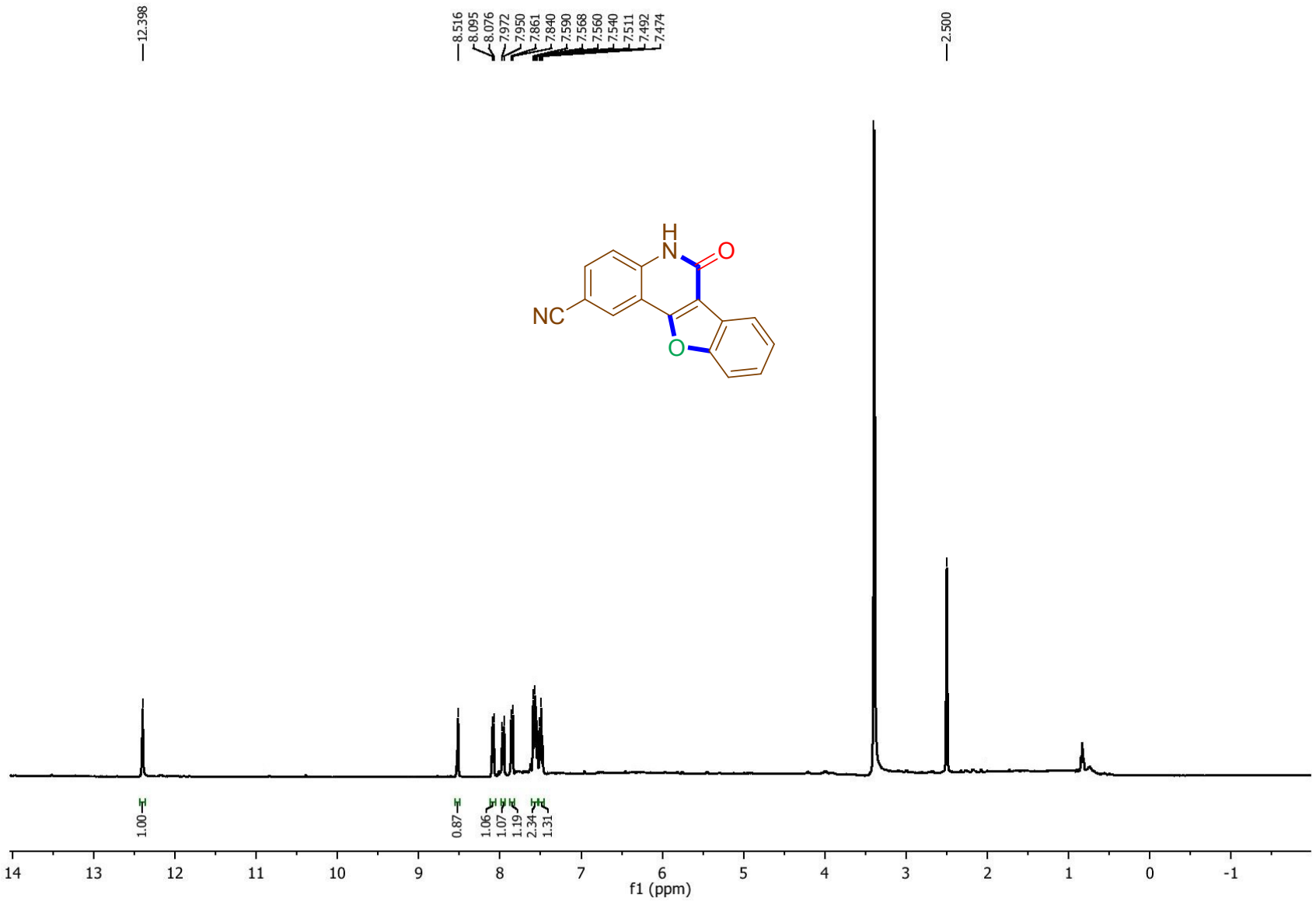
2-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (8a): ^{1}HNMR (400 MHz, DMSO-d_6)



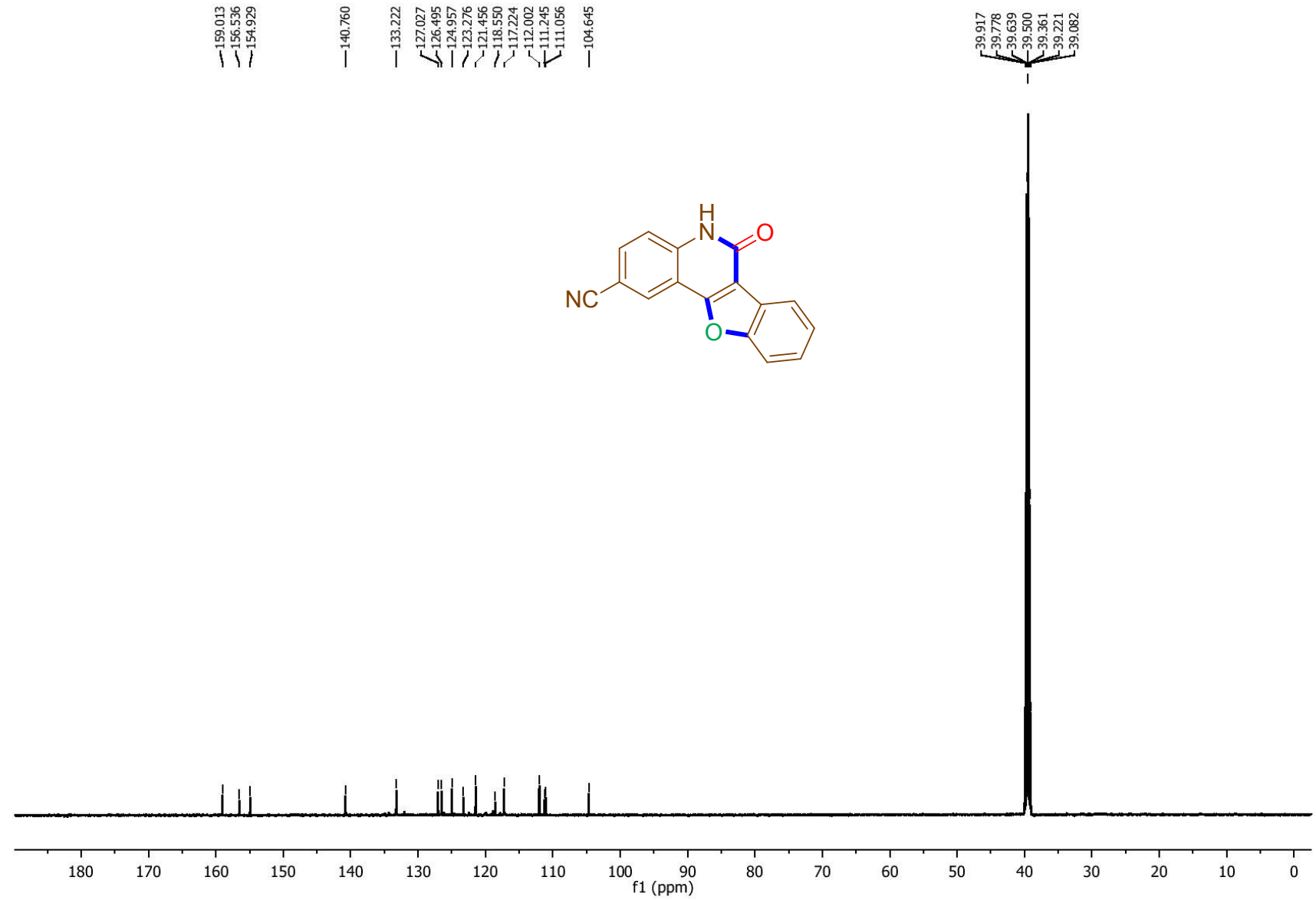
2-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (8a): ^{13}CNMR (150 MHz, DMSO-d_6)



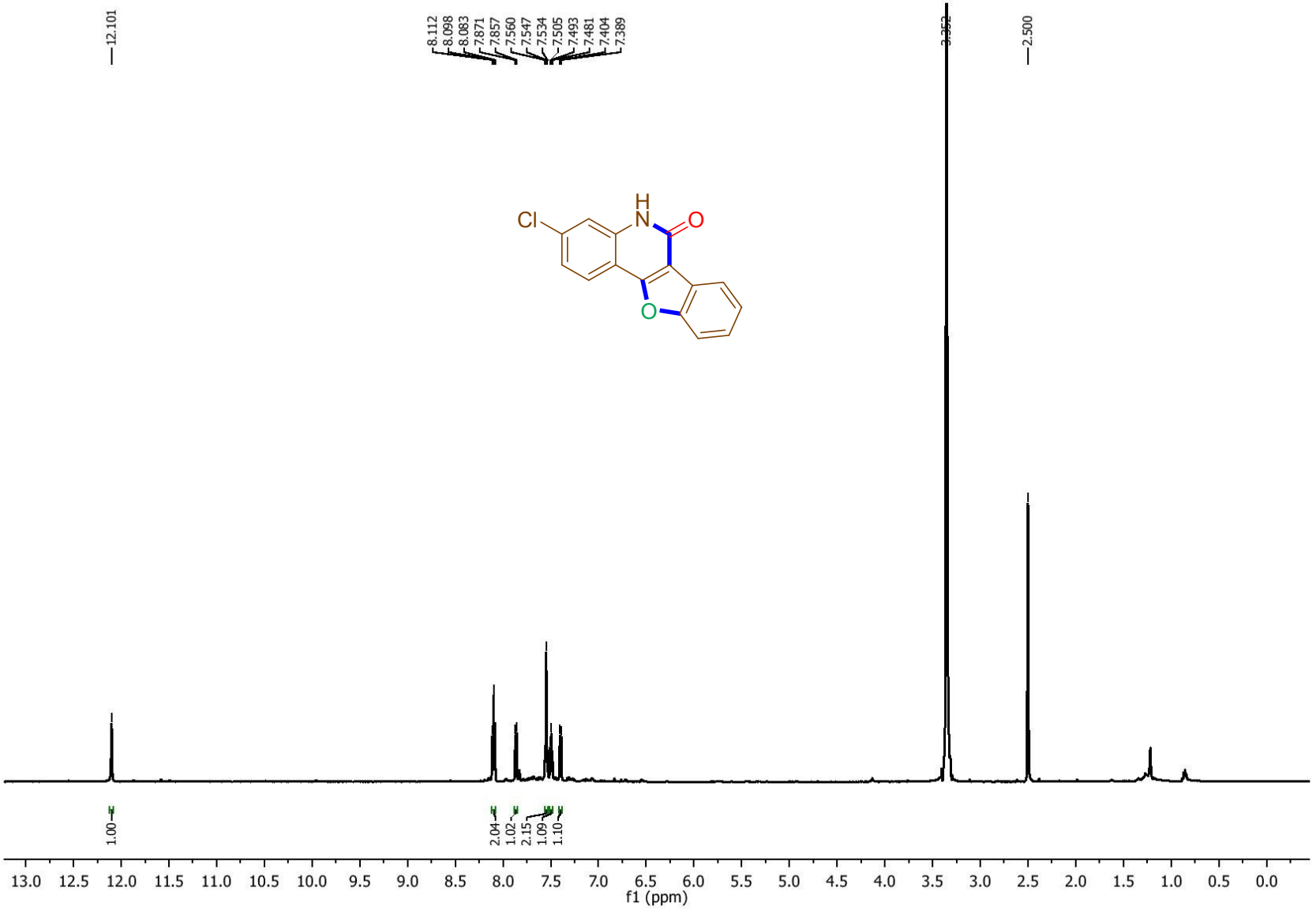
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-2-carbonitrile (9a): ^{1}HNMR (400 MHz, DMSO-d_6)



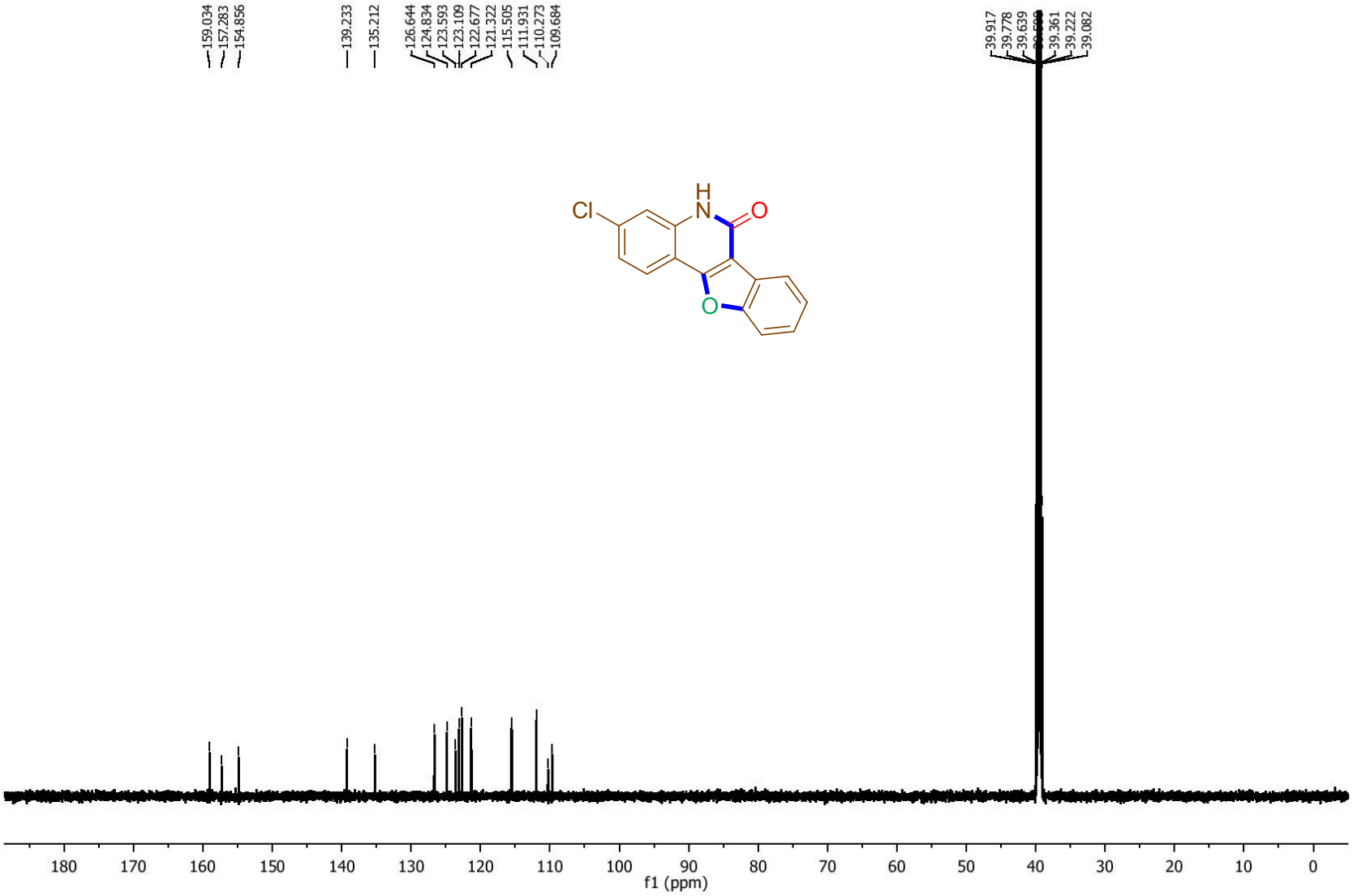
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-2-carbonitrile (9a): ^{13}CNMR (100 MHz, DMSO-d_6)



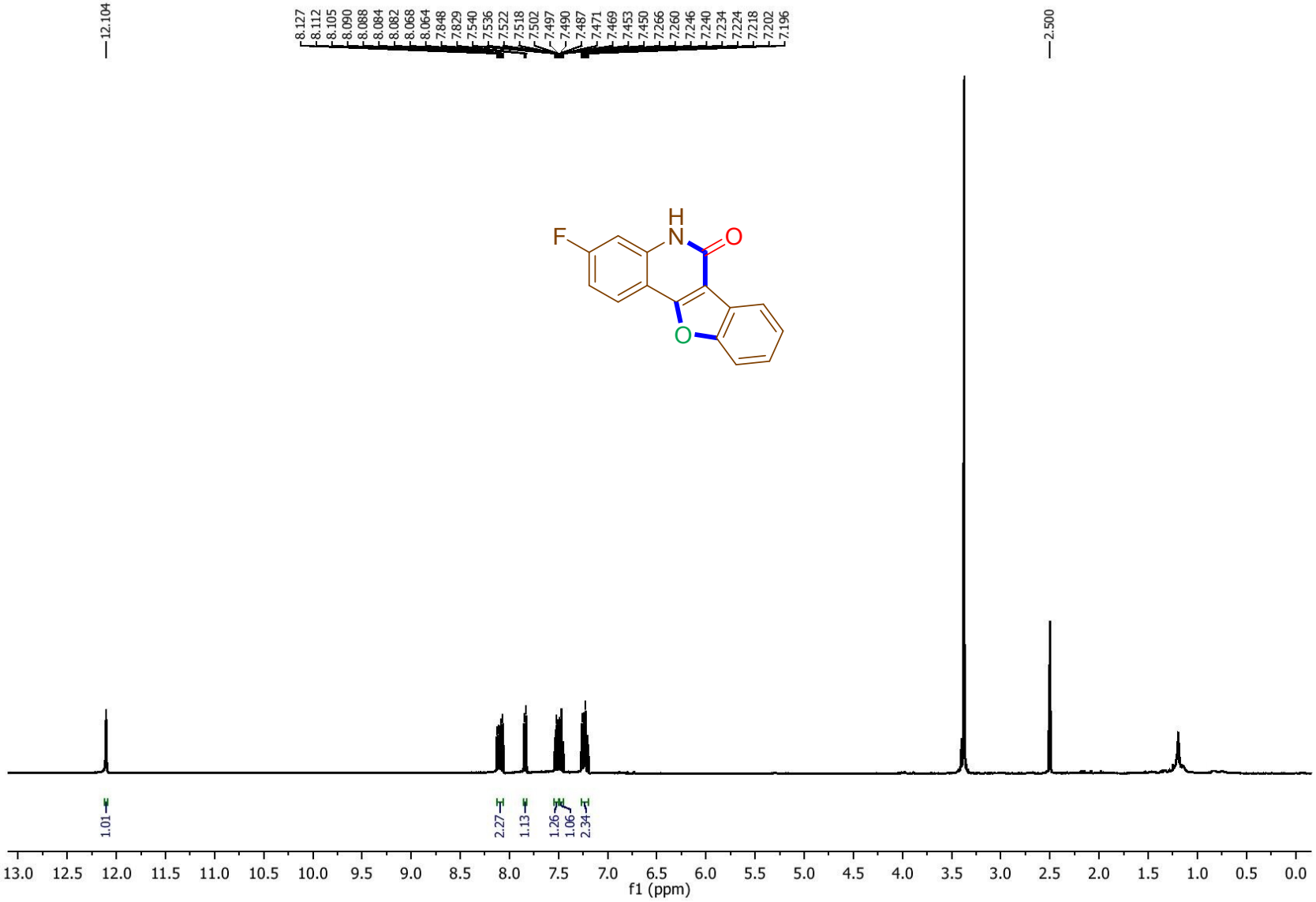
3-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (10a): ^{1}HNMR (600 MHz, DMSO-d_6)



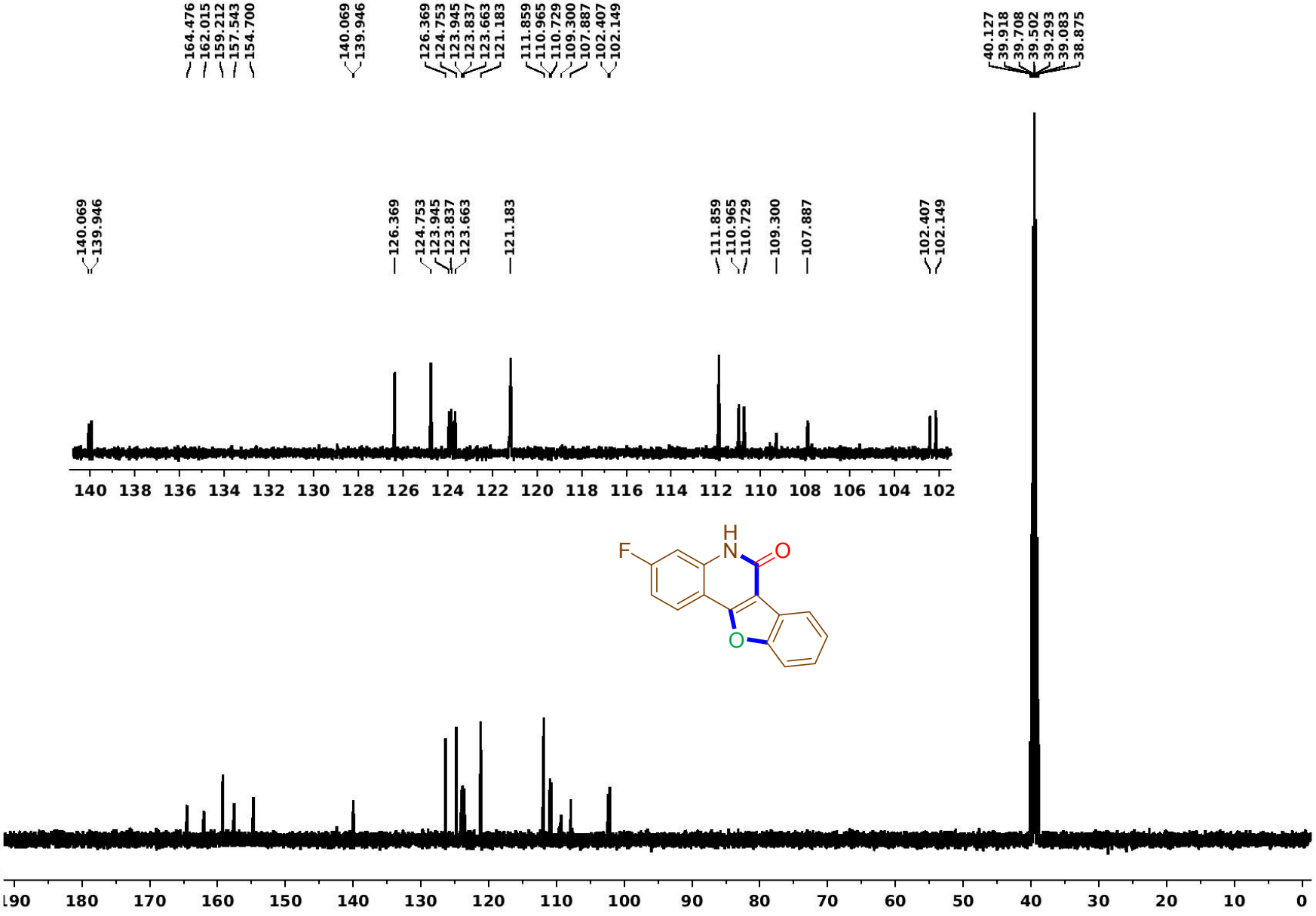
3-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (10a): ^{13}CNMR (150 MHz, DMSO-d_6)



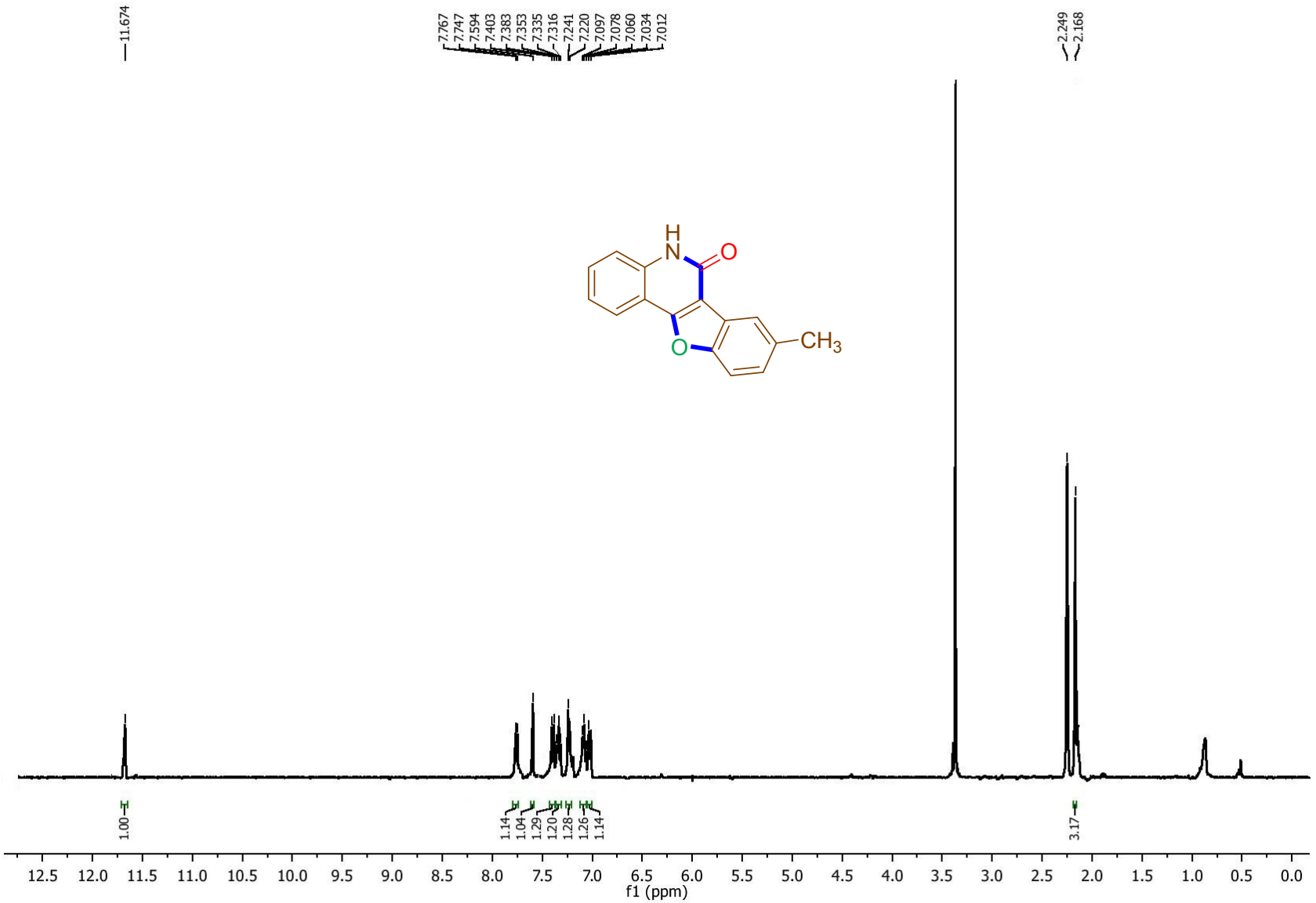
3-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (11a): ^{1}HNMR (400 MHz, DMSO-d_6)



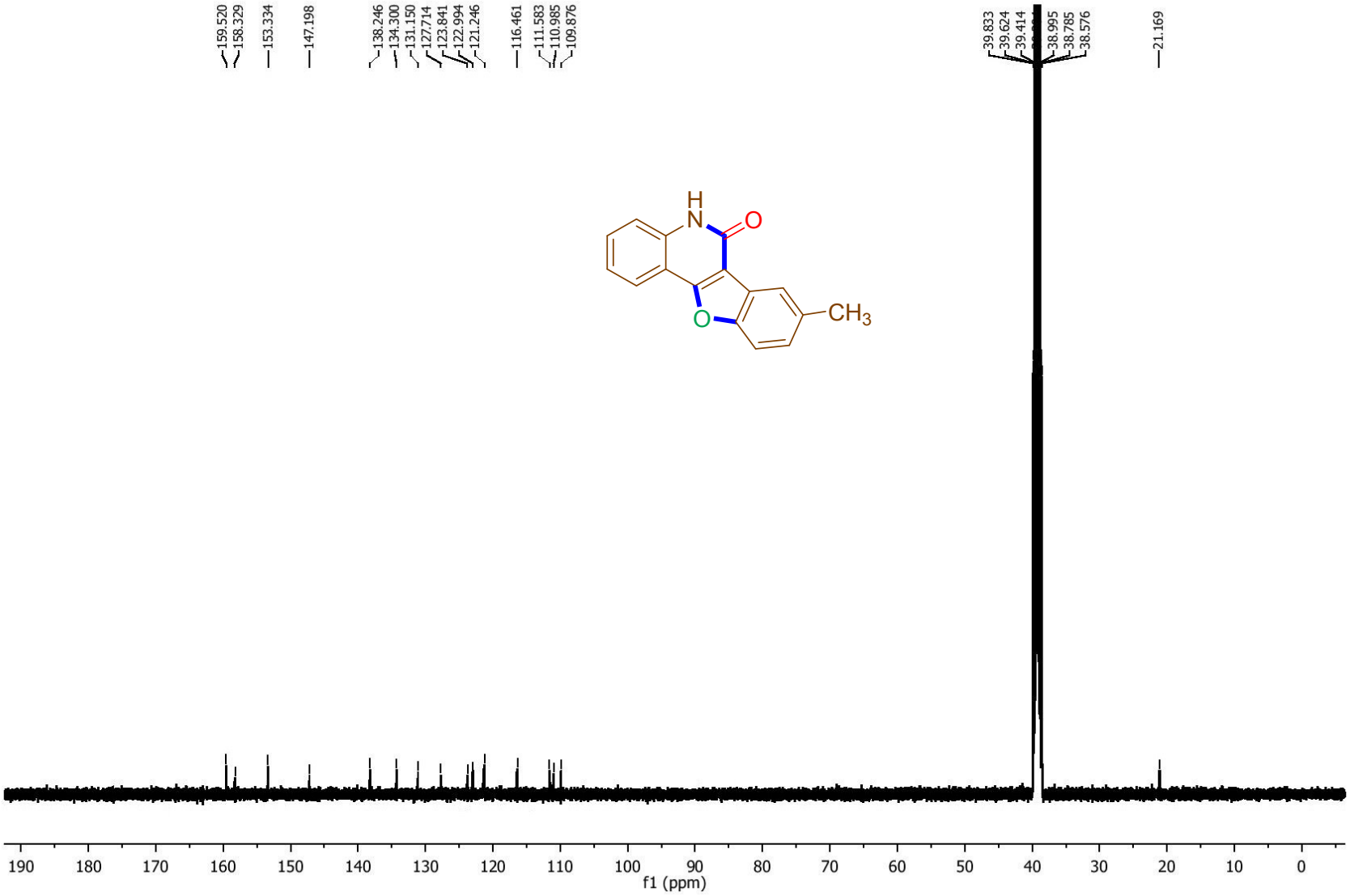
3-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (11a): ^{13}CNMR (100 MHz, DMSO-d_6)



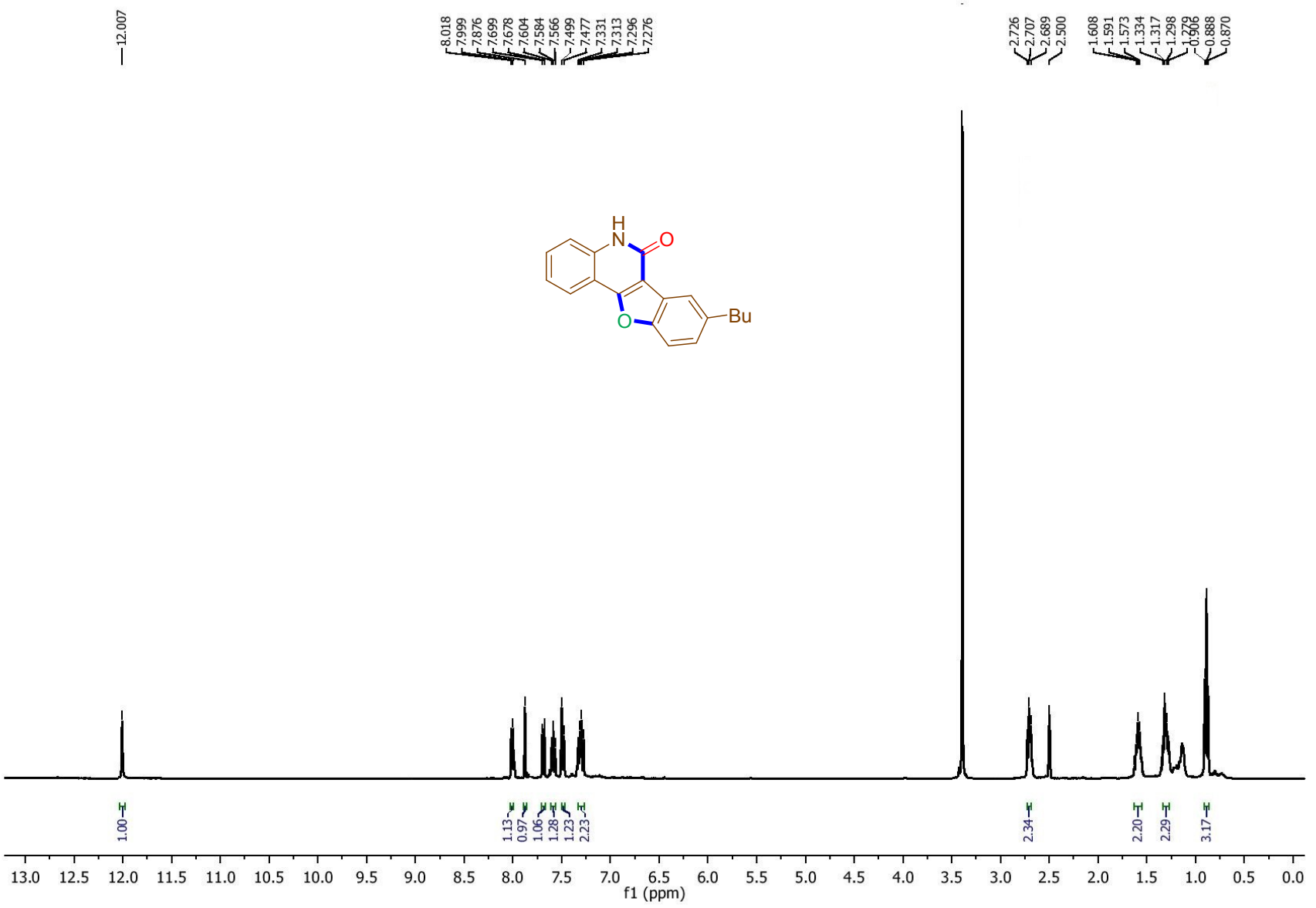
8-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (12a): ^{1}HNMR (400 MHz, DMSO-d_6)



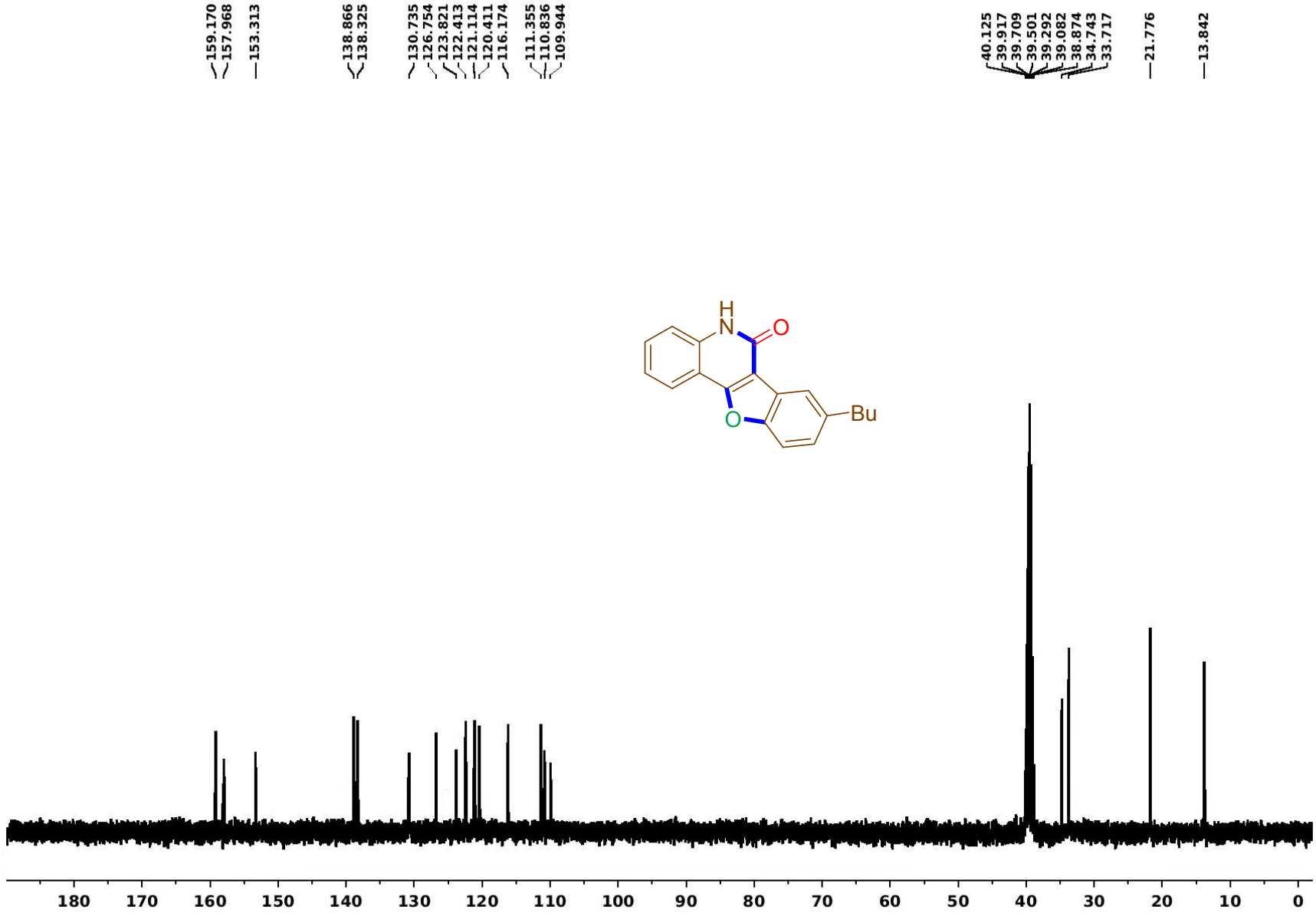
8-Methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (12a): ^{13}CNMR (100 MHz, DMSO-d_6)



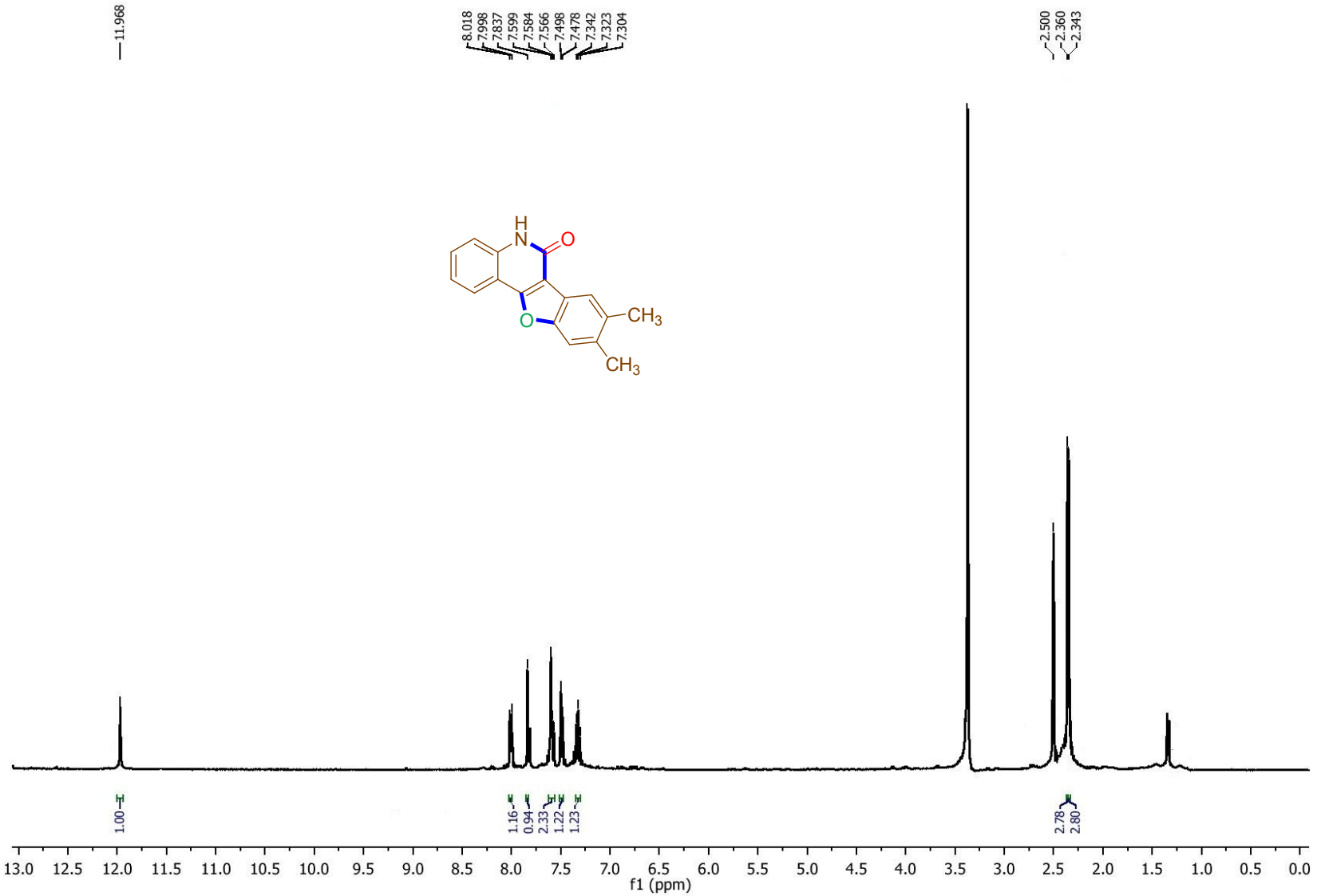
8-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (13a): ^{1}HNMR (400 MHz, DMSO-d_6)



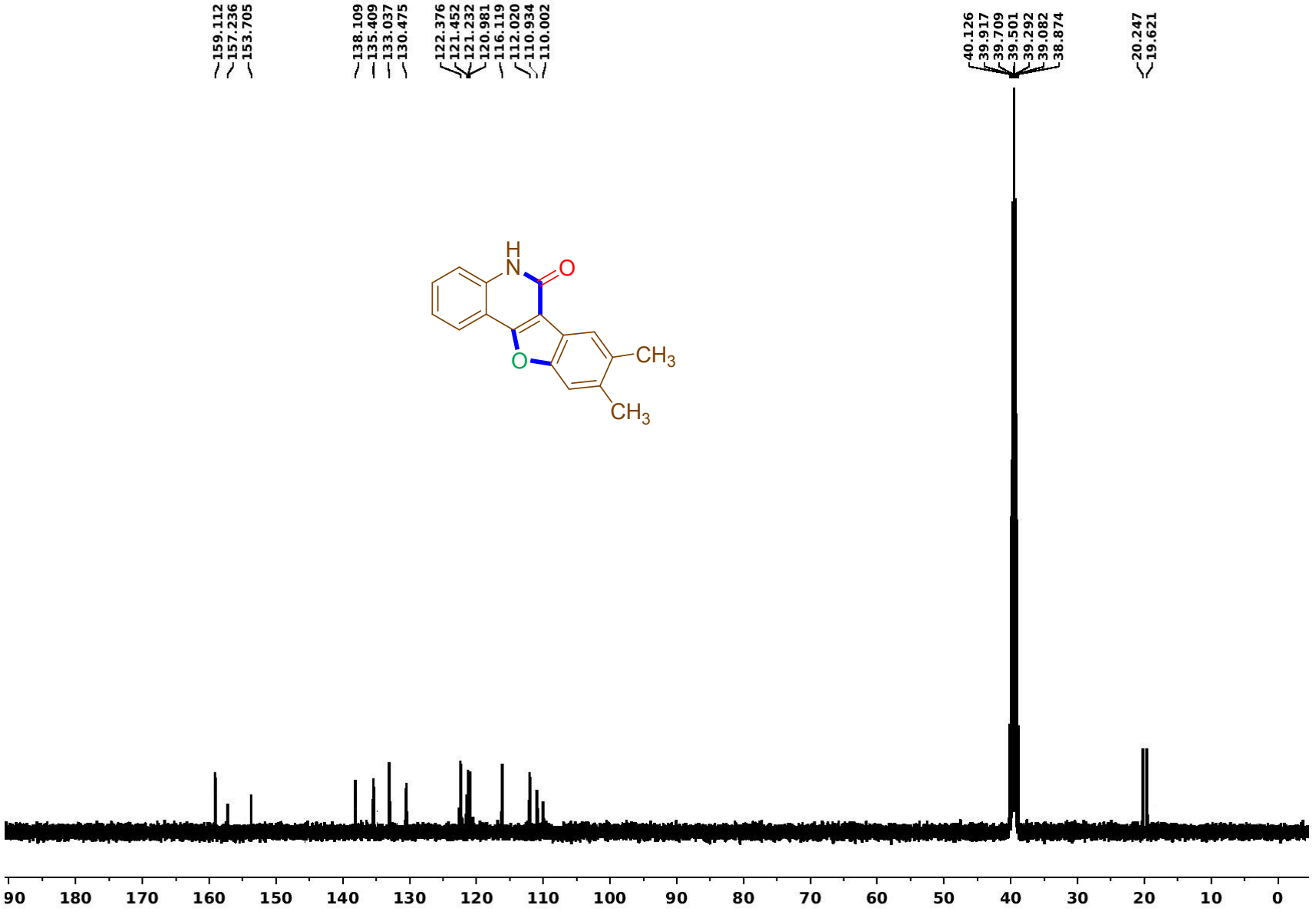
8-Butylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (13a): ^{13}CNMR (100 MHz, DMSO-d_6)



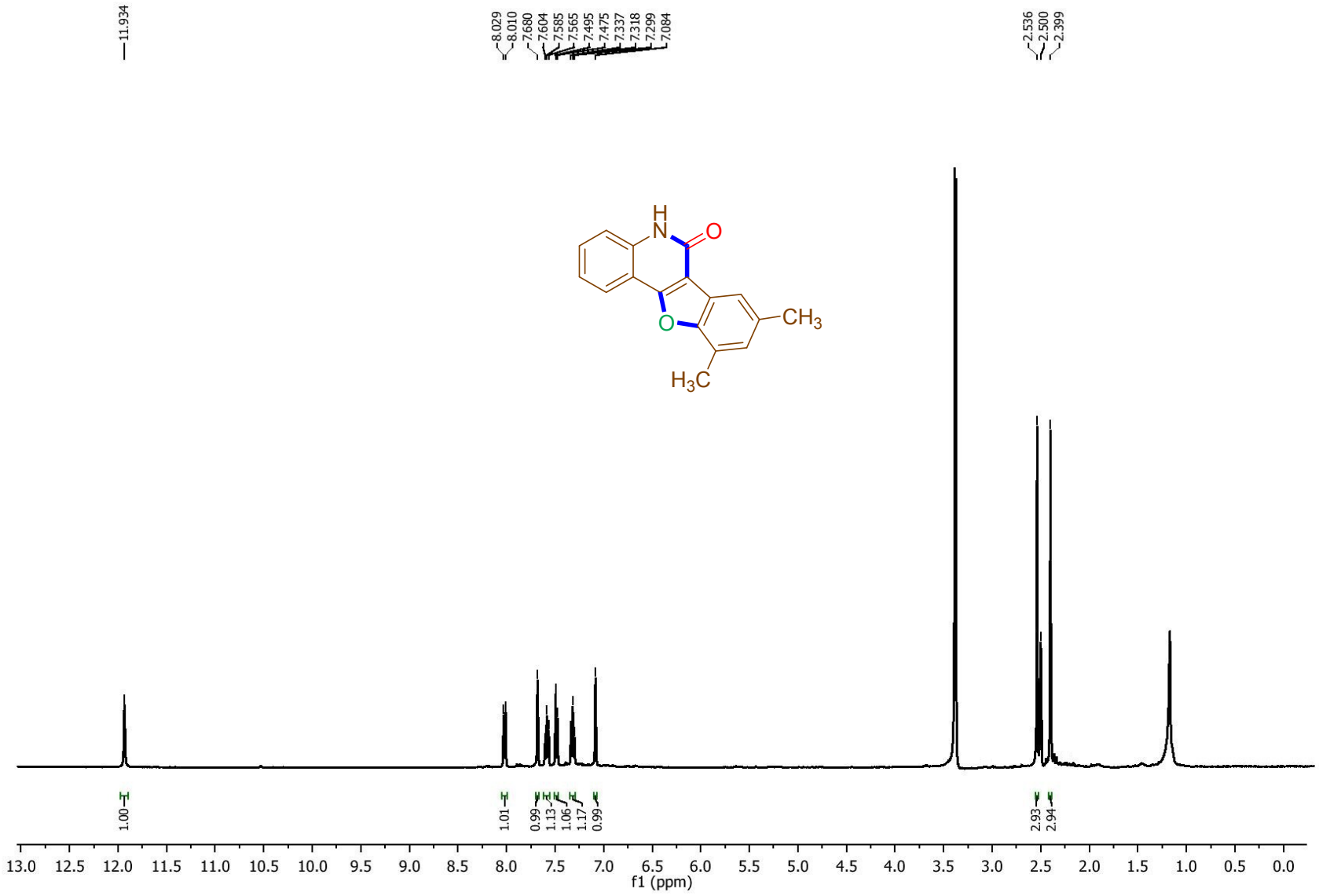
8,9-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (14a): ^{1}HNMR (400 MHz, DMSO-d_6)



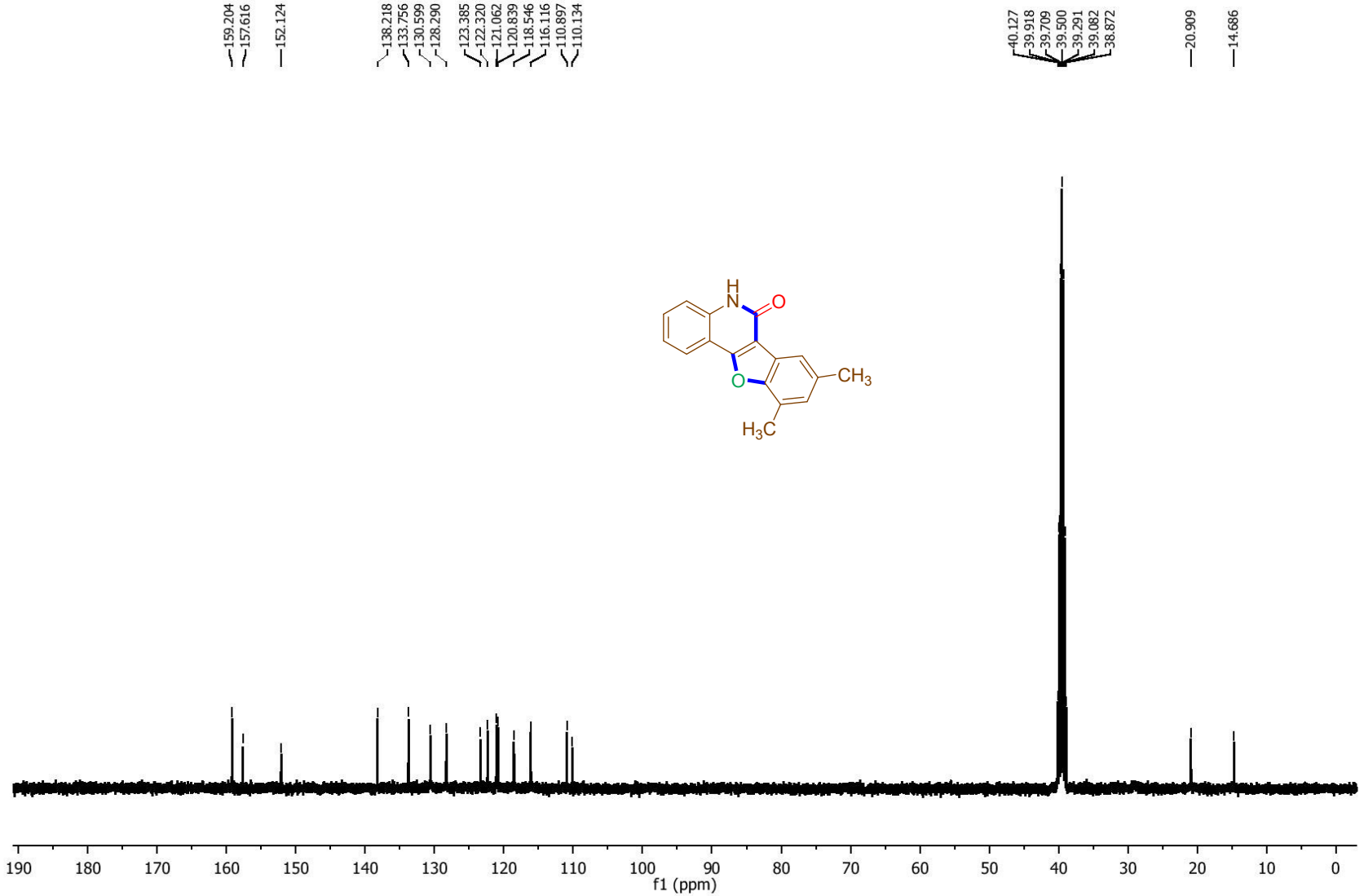
8,9-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (14a): ^{13}CNMR (100 MHz, DMSO-d_6)



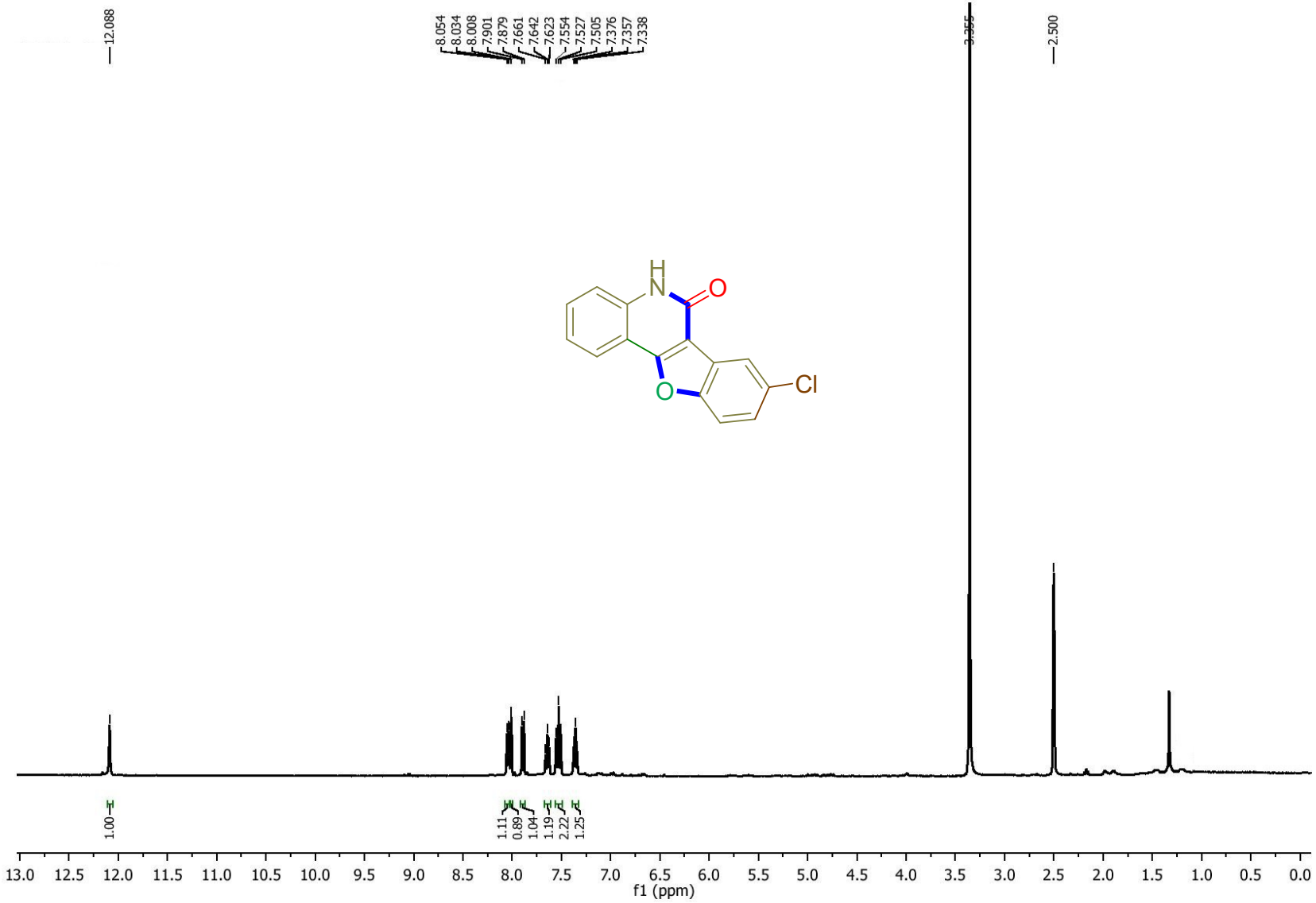
8,10-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (15a): ^{1}HNMR (400 MHz, DMSO-d_6)



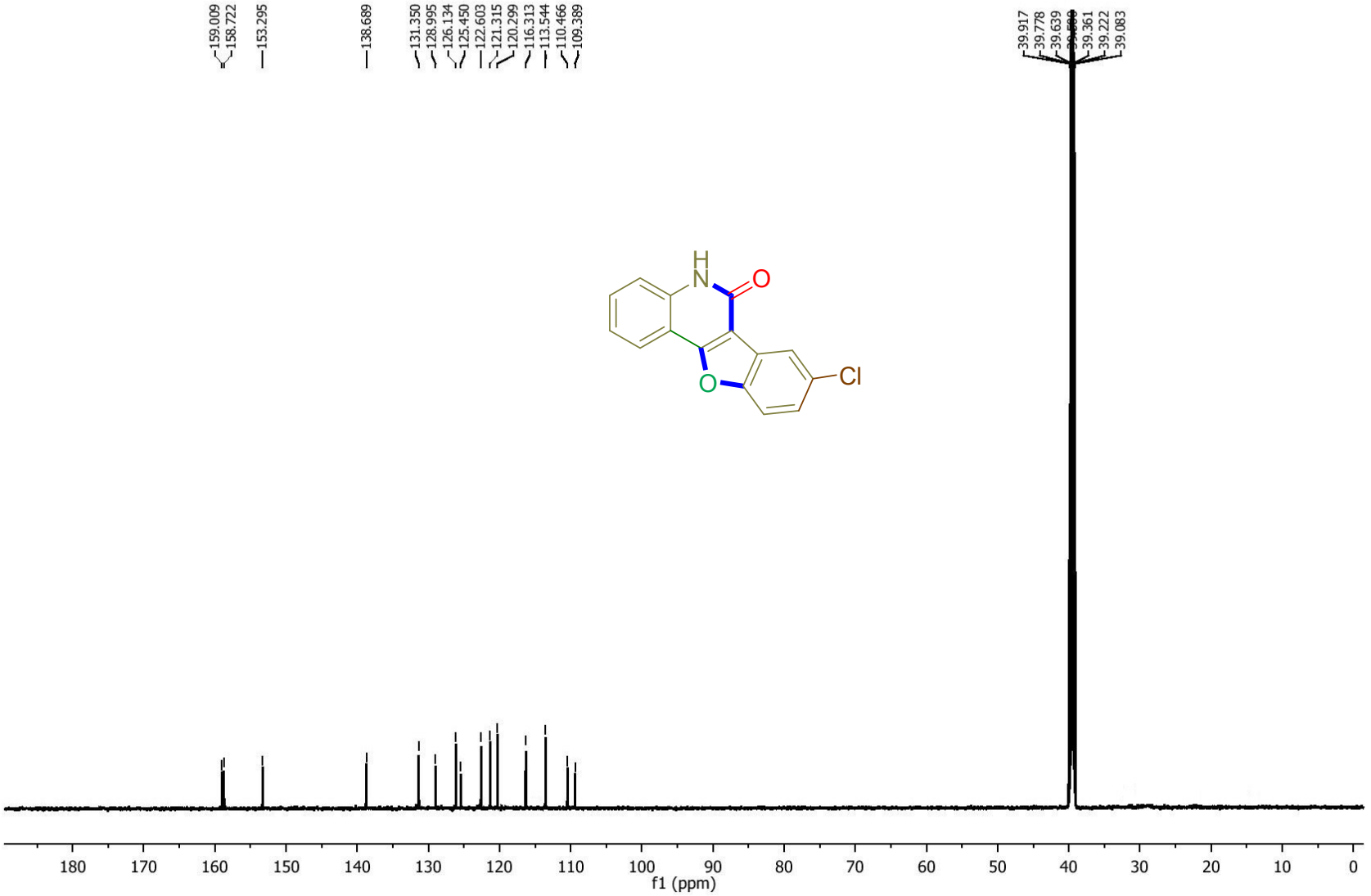
8,10-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (15a): ^{13}CNMR (100 MHz, DMSO-d_6)



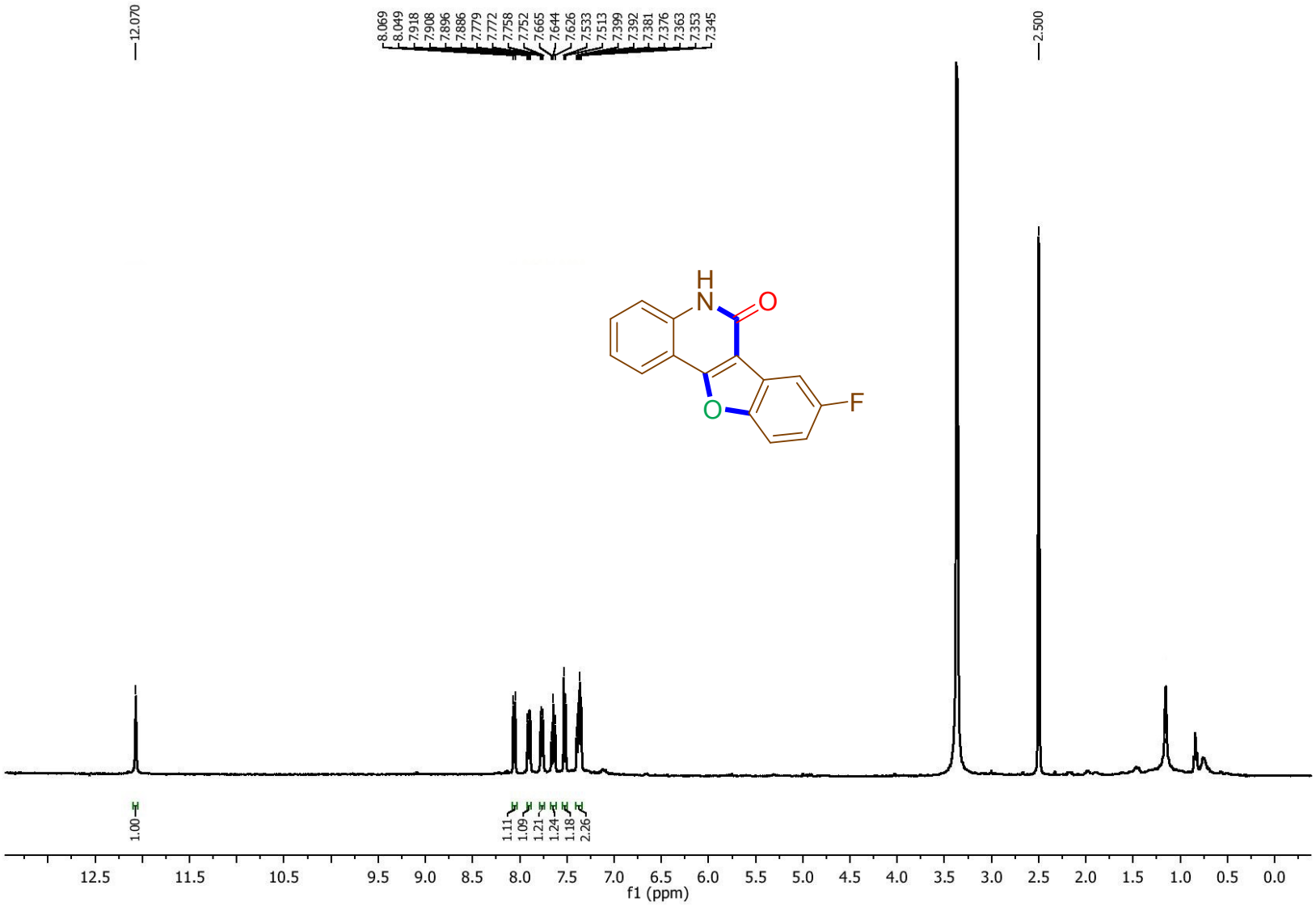
8-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (16a): ^{1}HNMR (400 MHz, DMSO-d_6)



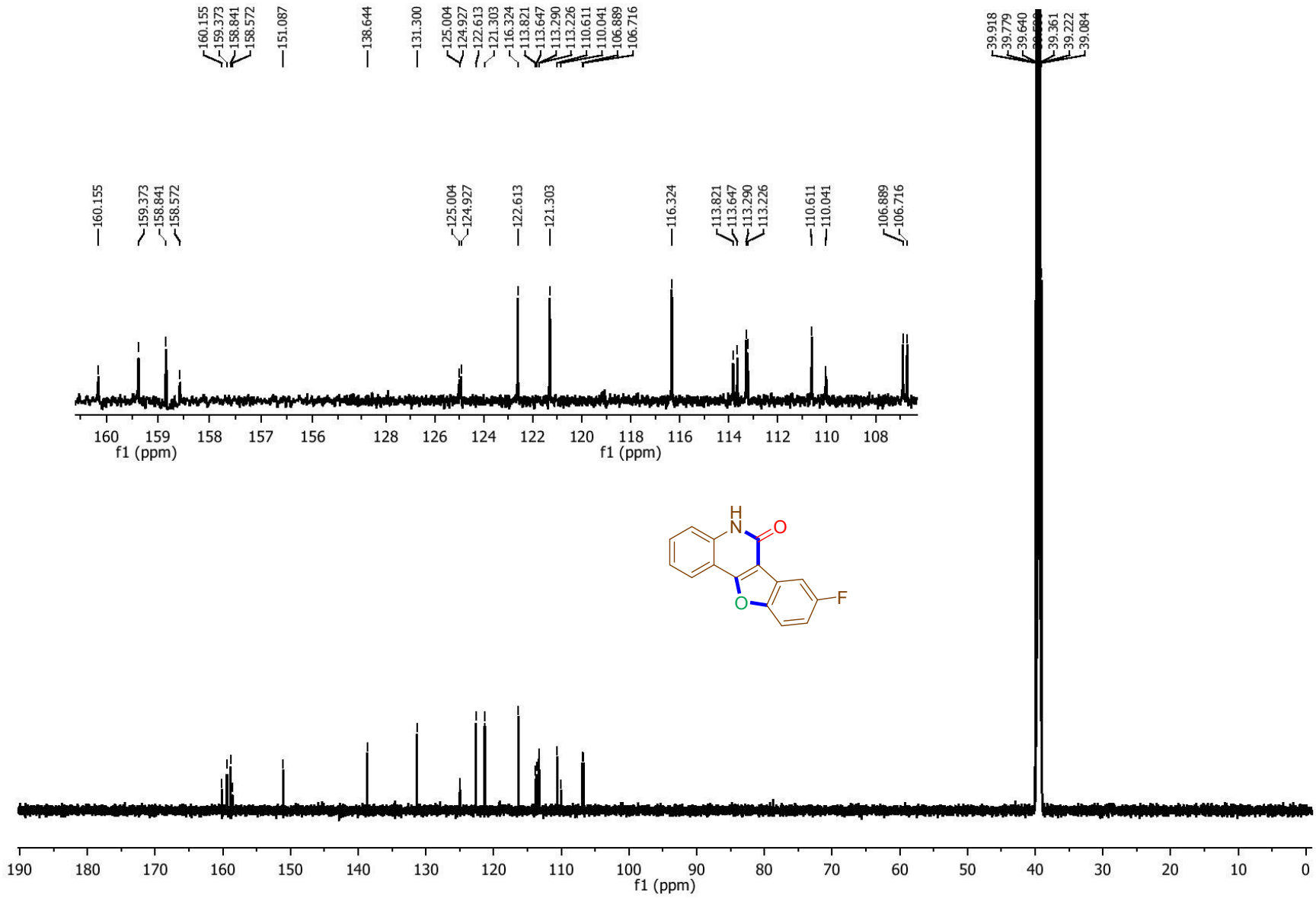
8-Chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (16a): ^{13}CNMR (150 MHz, DMSO-d_6)



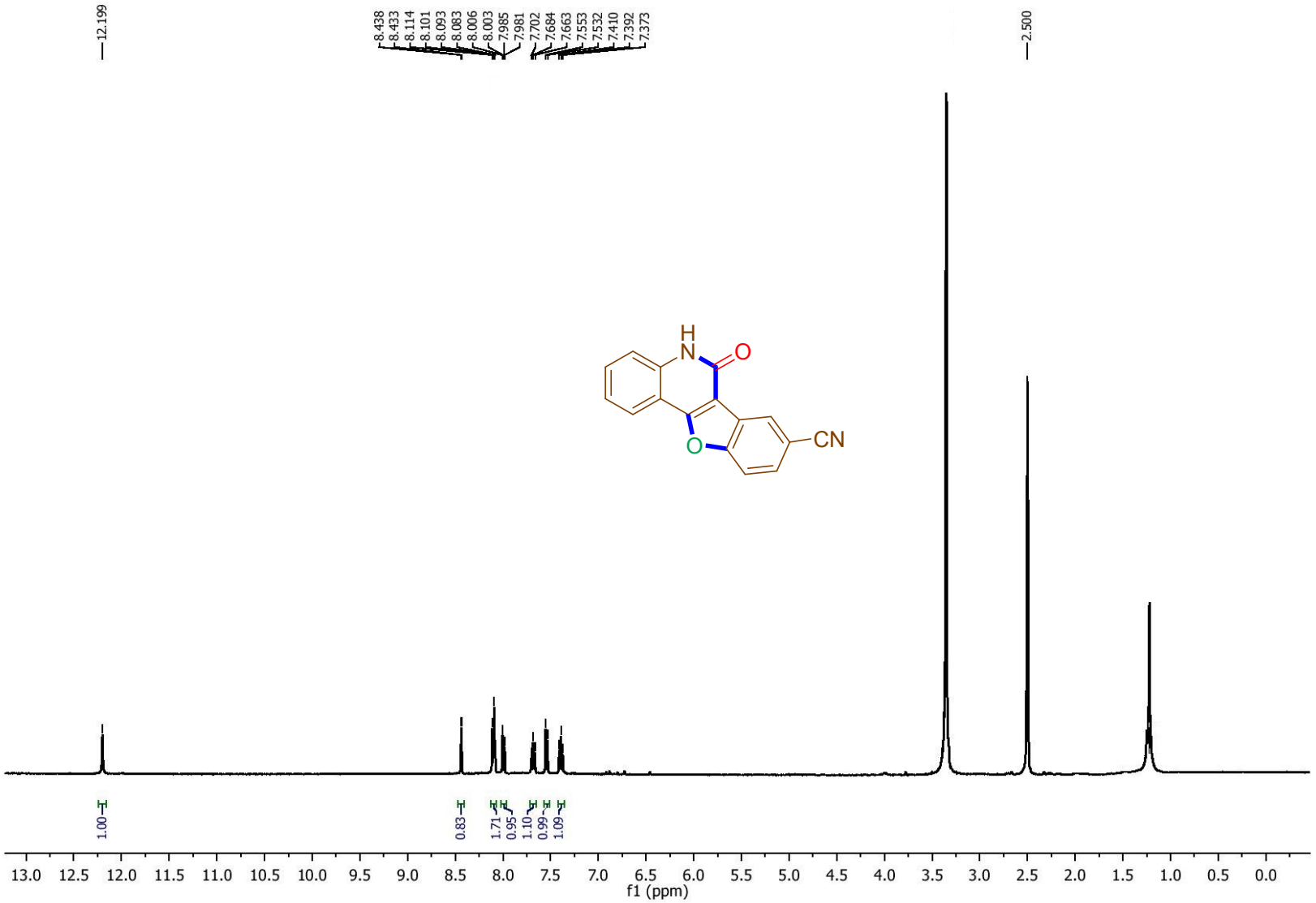
8-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (17a): ^{1}HNMR (400 MHz, DMSO-d_6)



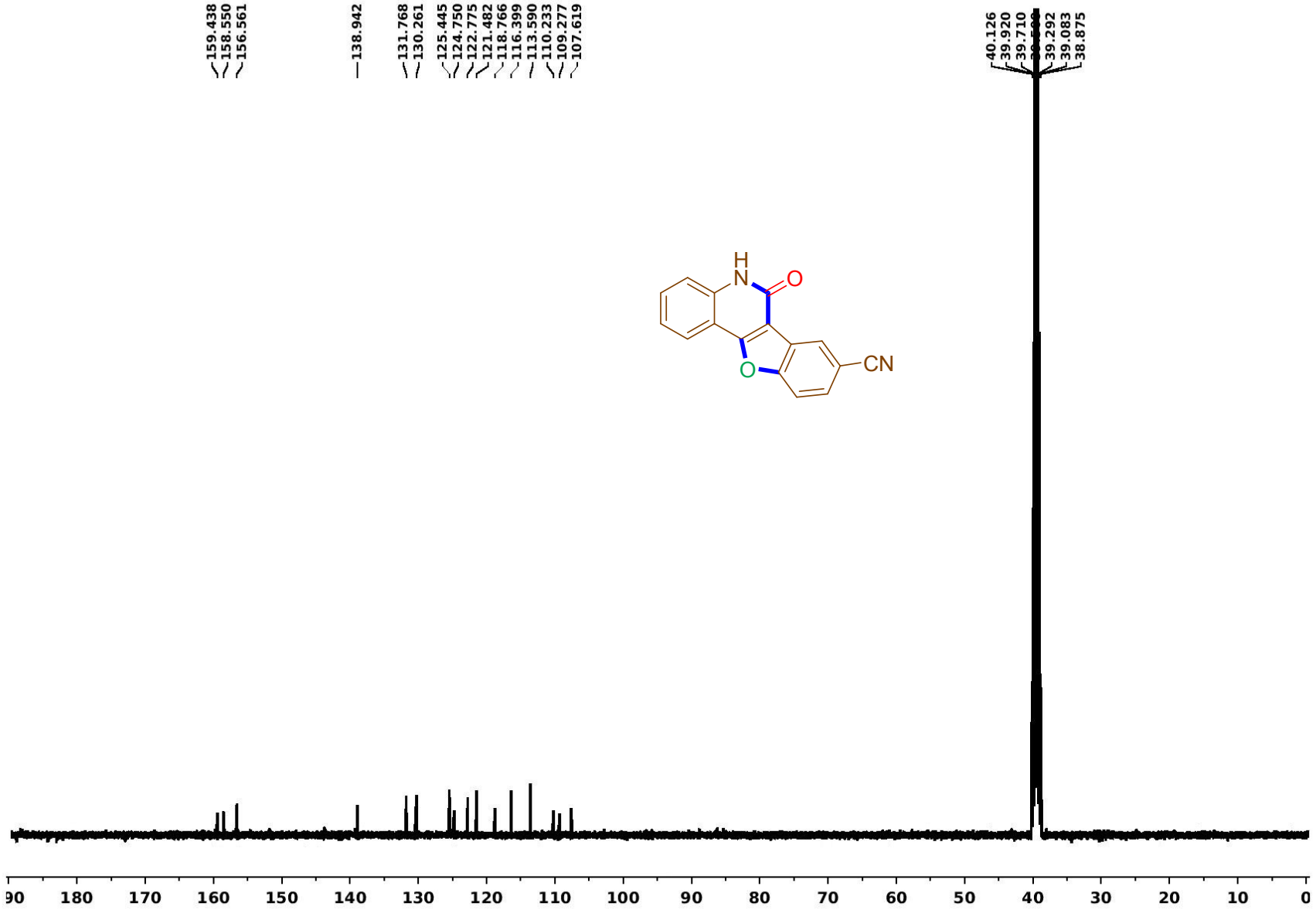
8-Fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (17a): ^{13}CNMR (150 MHz, DMSO-d_6)



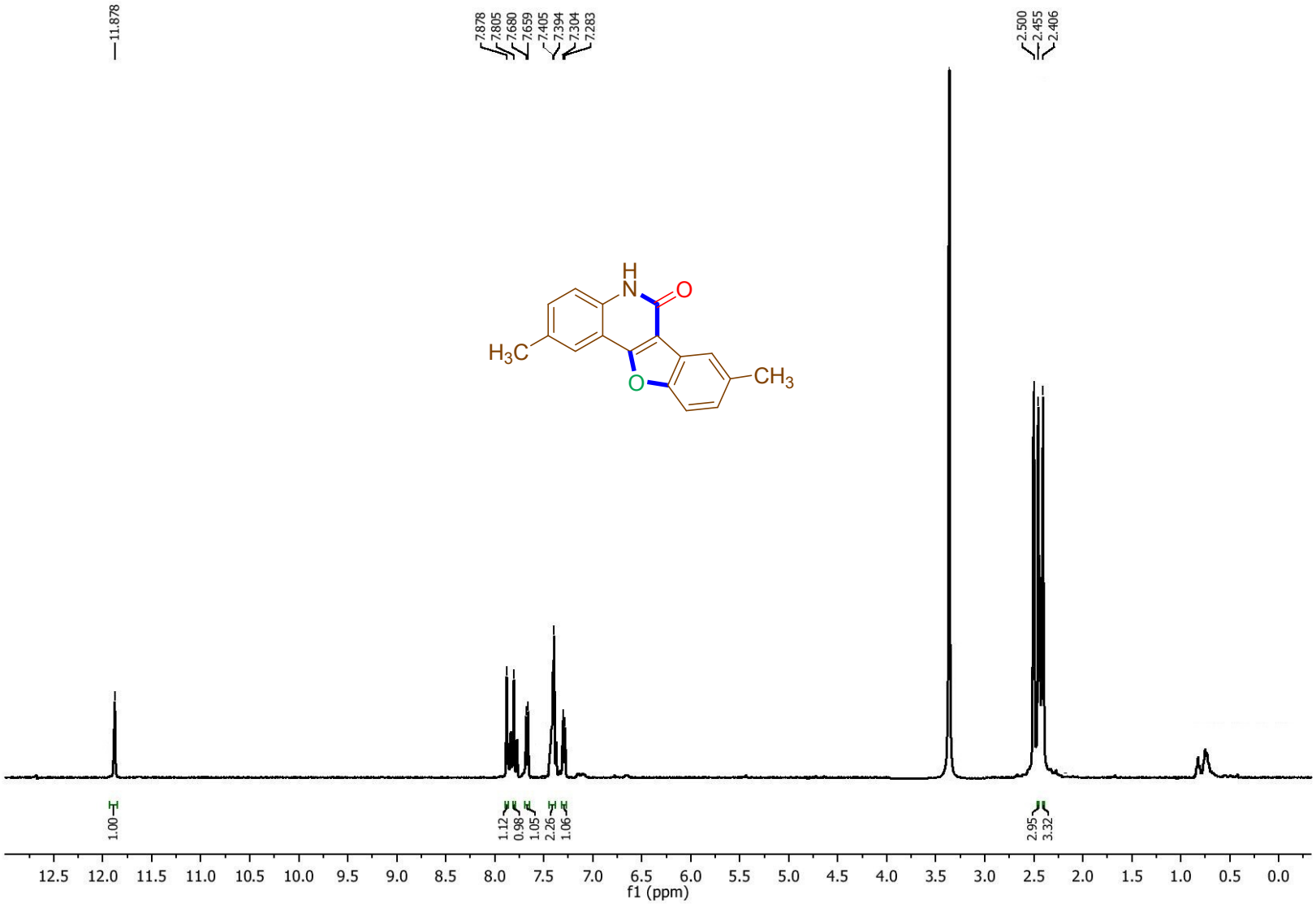
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-8-carbonitrile (18a): ^{1}HNMR (400 MHz, DMSO-d_6)



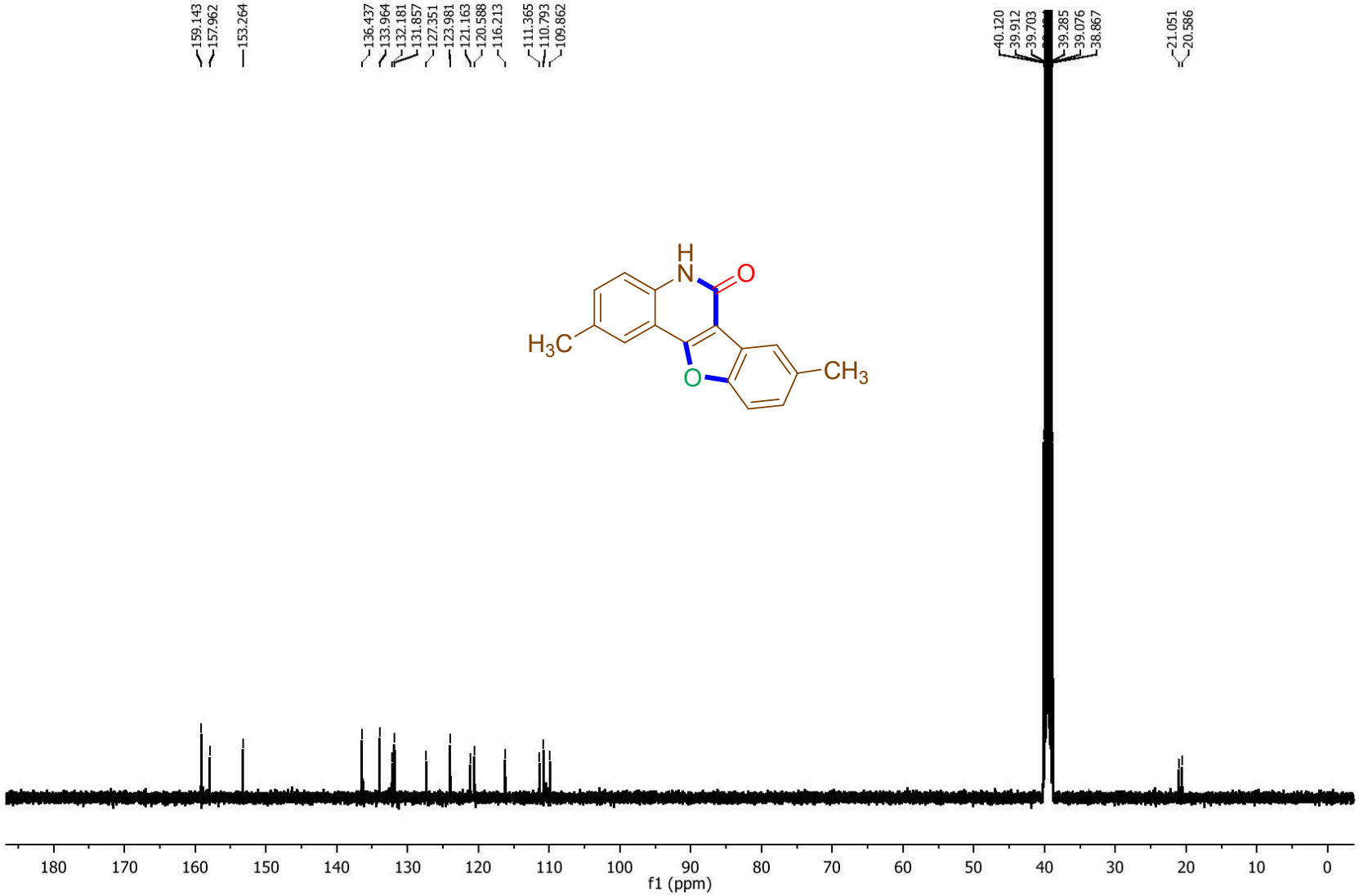
6-Oxo-5,6-dihydrobenzofuro[3,2-*c*]quinoline-8-carbonitrile (18a): ^{13}CNMR (100 MHz, DMSO-d_6)



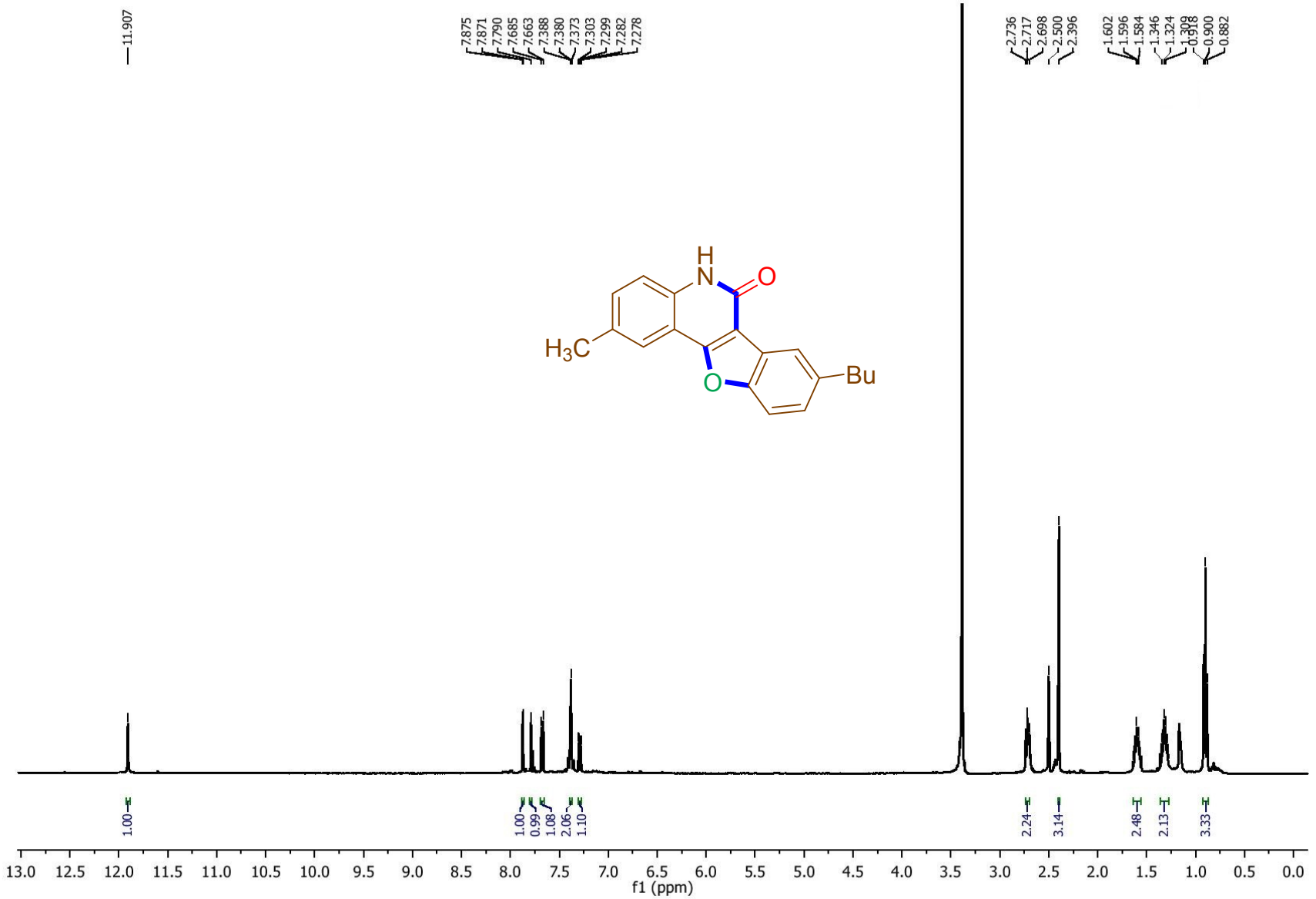
2,8-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (19a): ^{1}HNMR (400 MHz, DMSO-d_6)



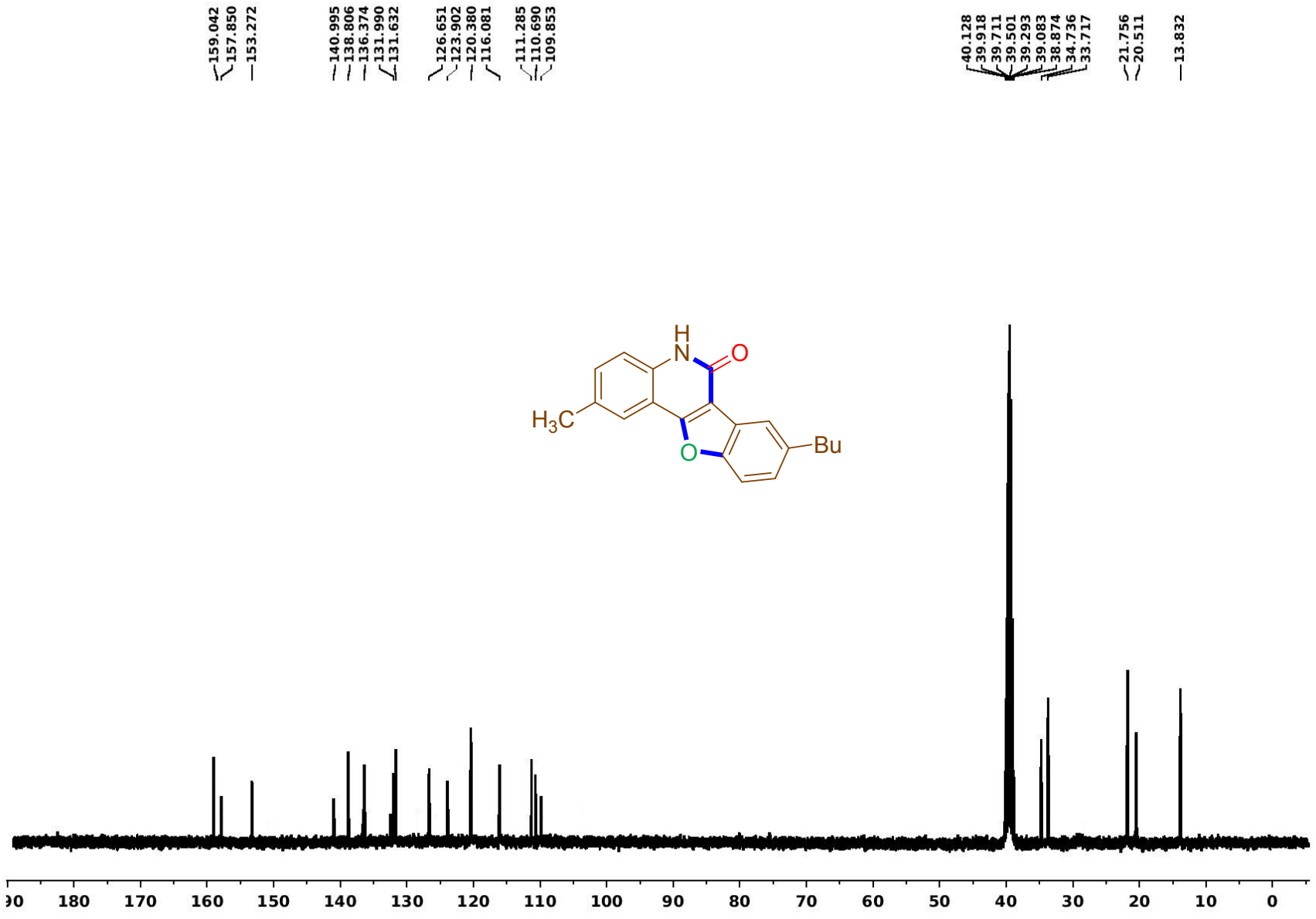
2,8-Dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (19a): ^{13}CNMR (100 MHz, DMSO-d_6)



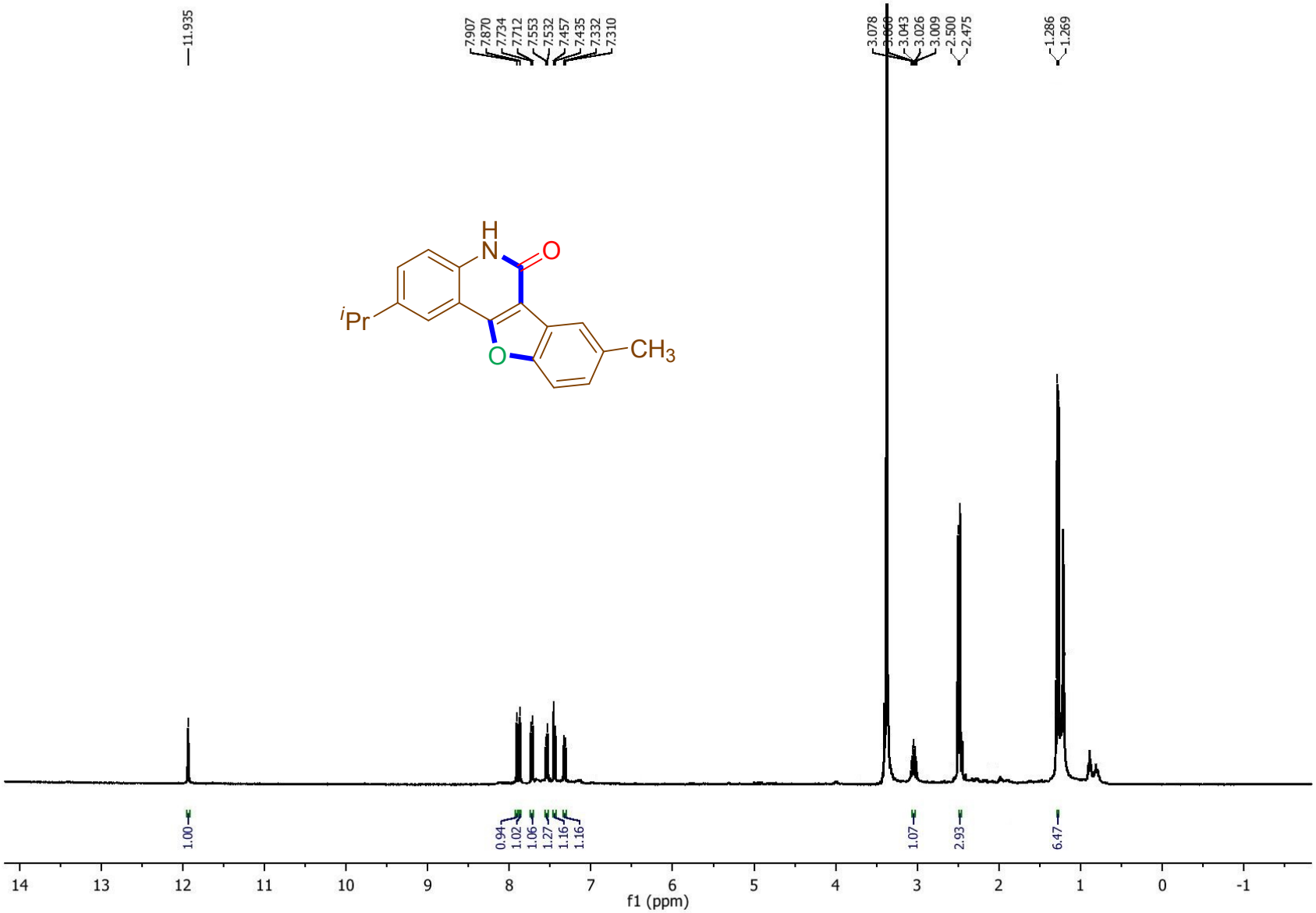
8-Butyl-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (20a): ^{1}HNMR (400 MHz, DMSO-d_6)



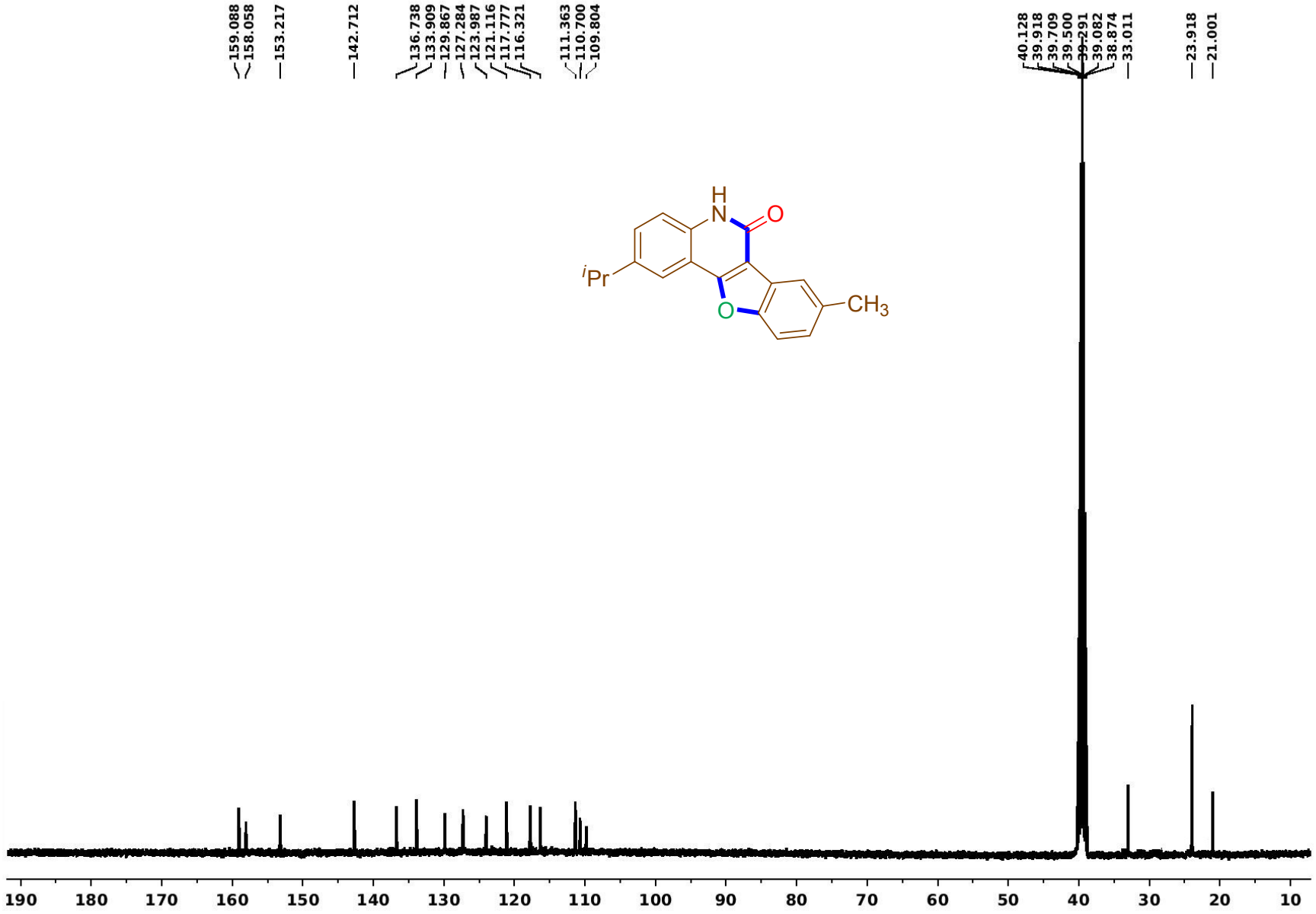
8-Butyl-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (20a): ^{13}CNMR (100 MHz, DMSO-d_6)



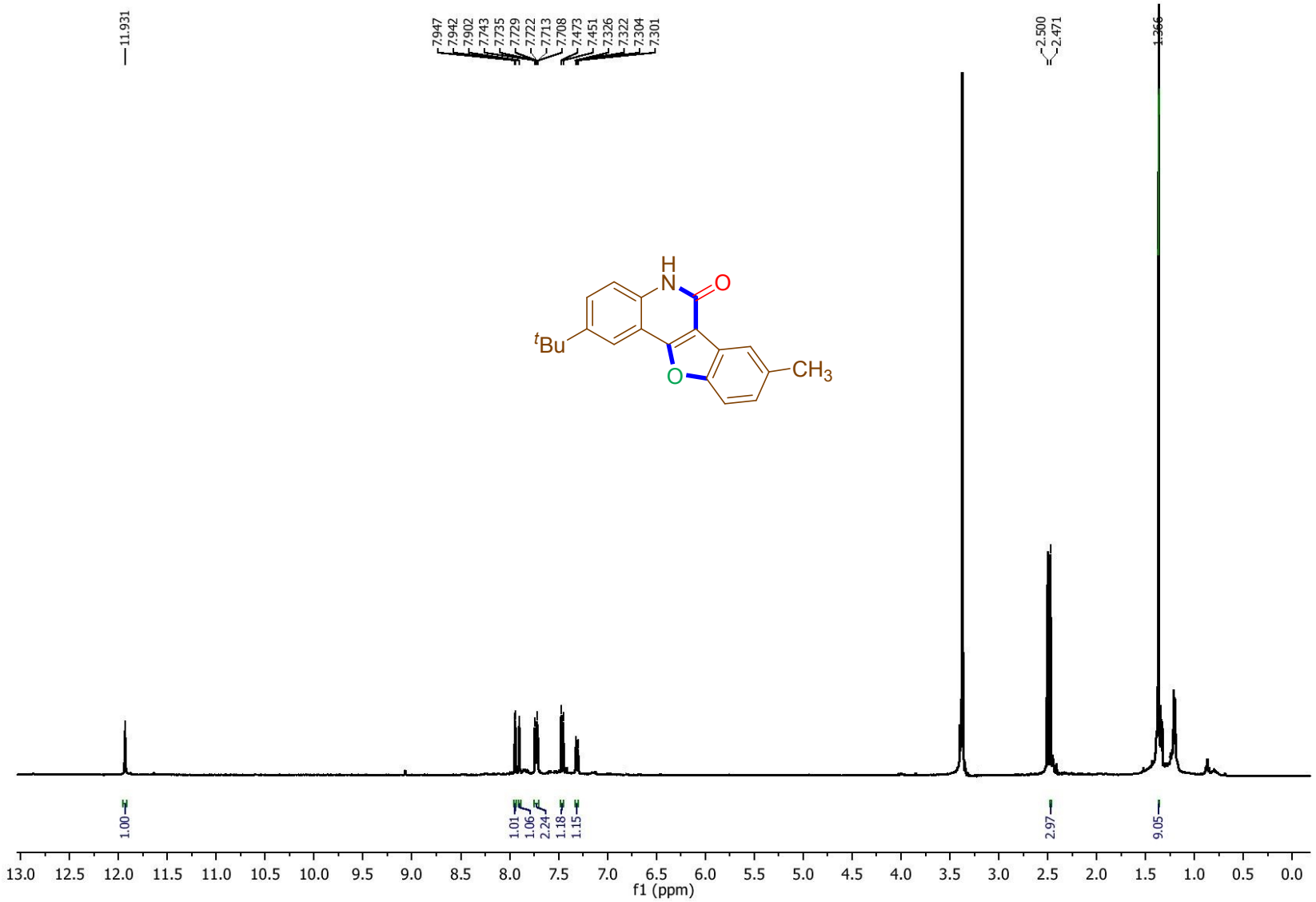
2-Isopropyl-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (21a): ^{1}HNMR (400 MHz, DMSO-d_6)



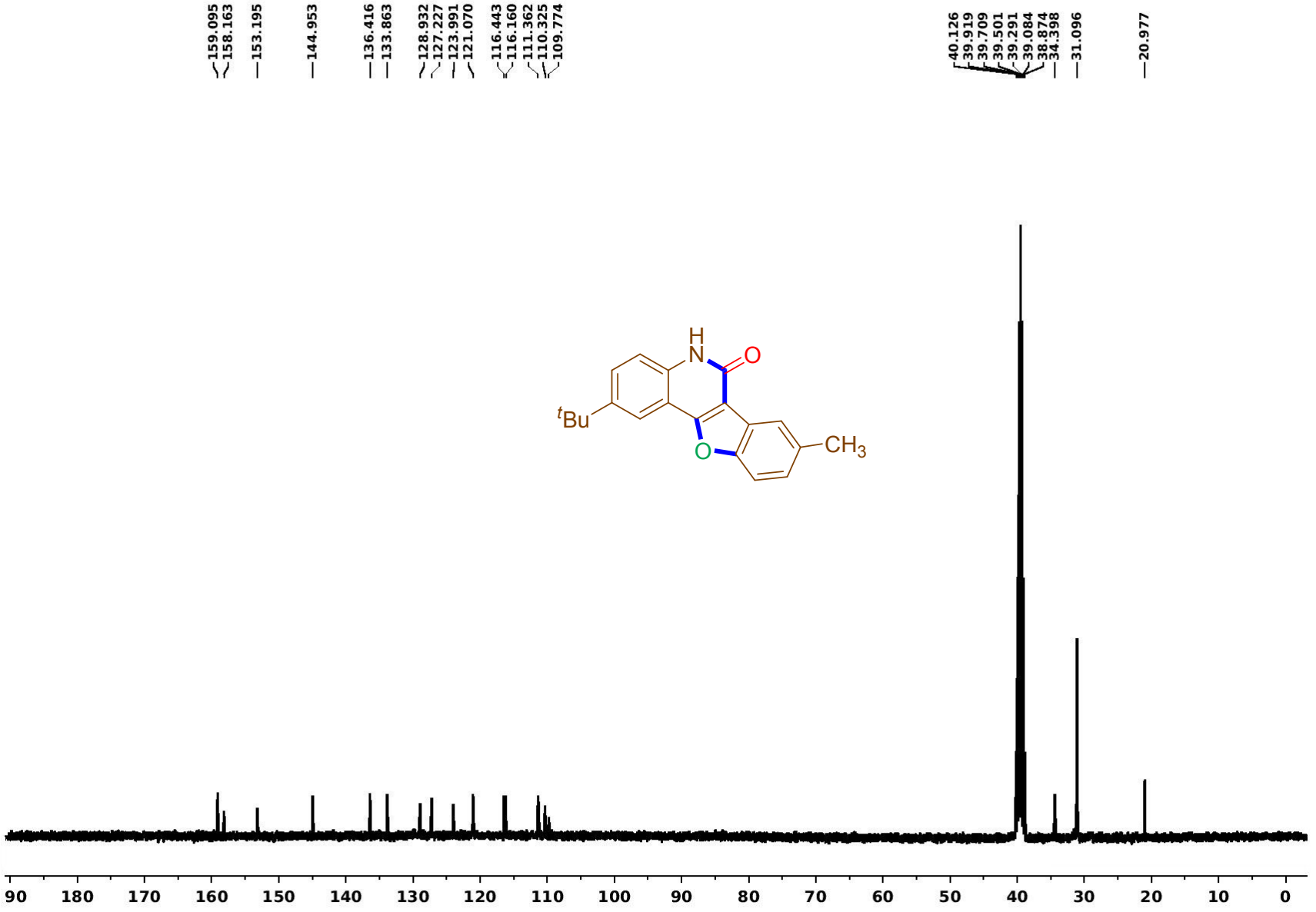
2-Isopropyl-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (21a): ^{13}CNMR (100 MHz, DMSO-d_6)



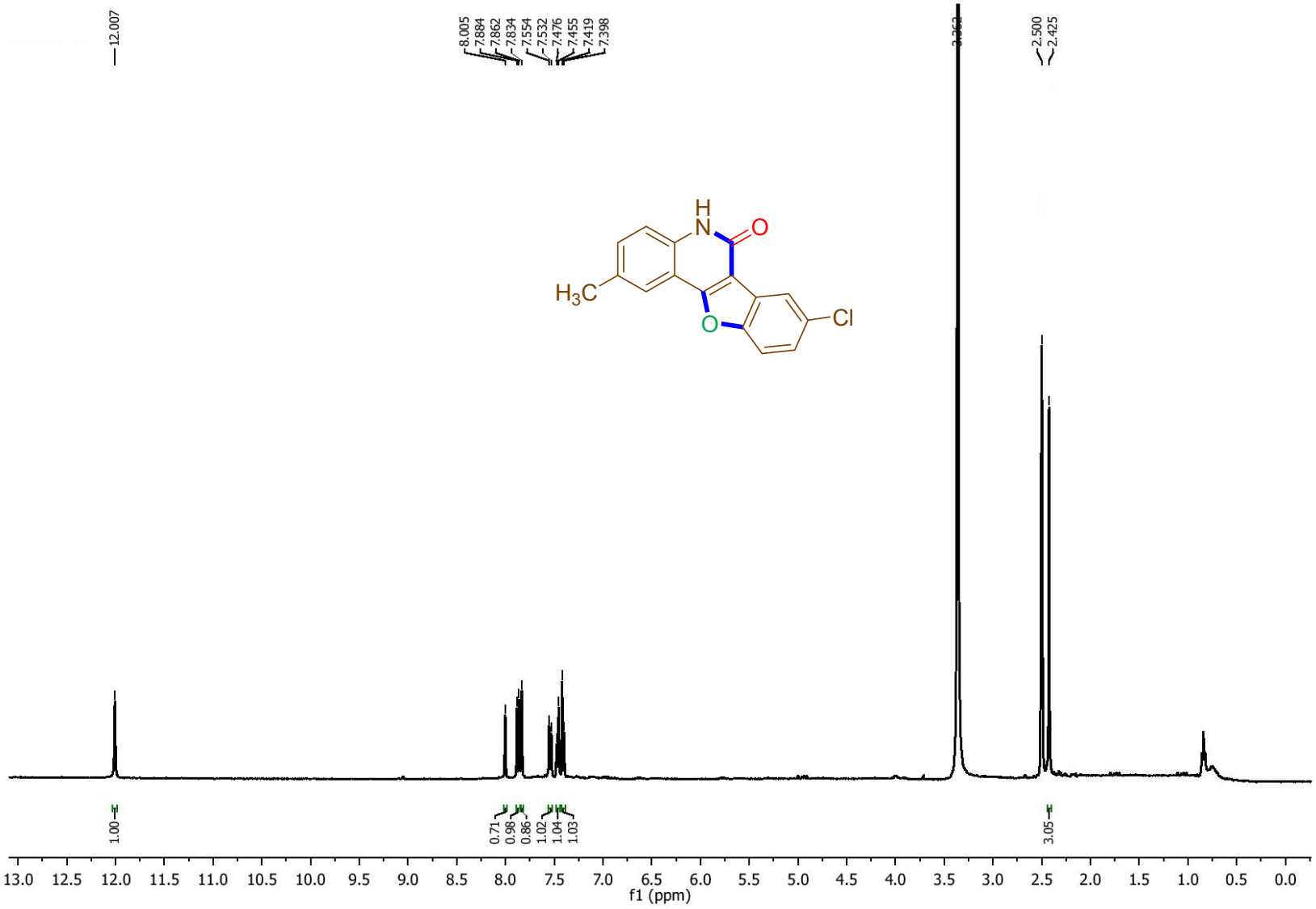
2-(Tert-butyl)-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (22a): ^{1}HNMR (400 MHz, DMSO-d_6)



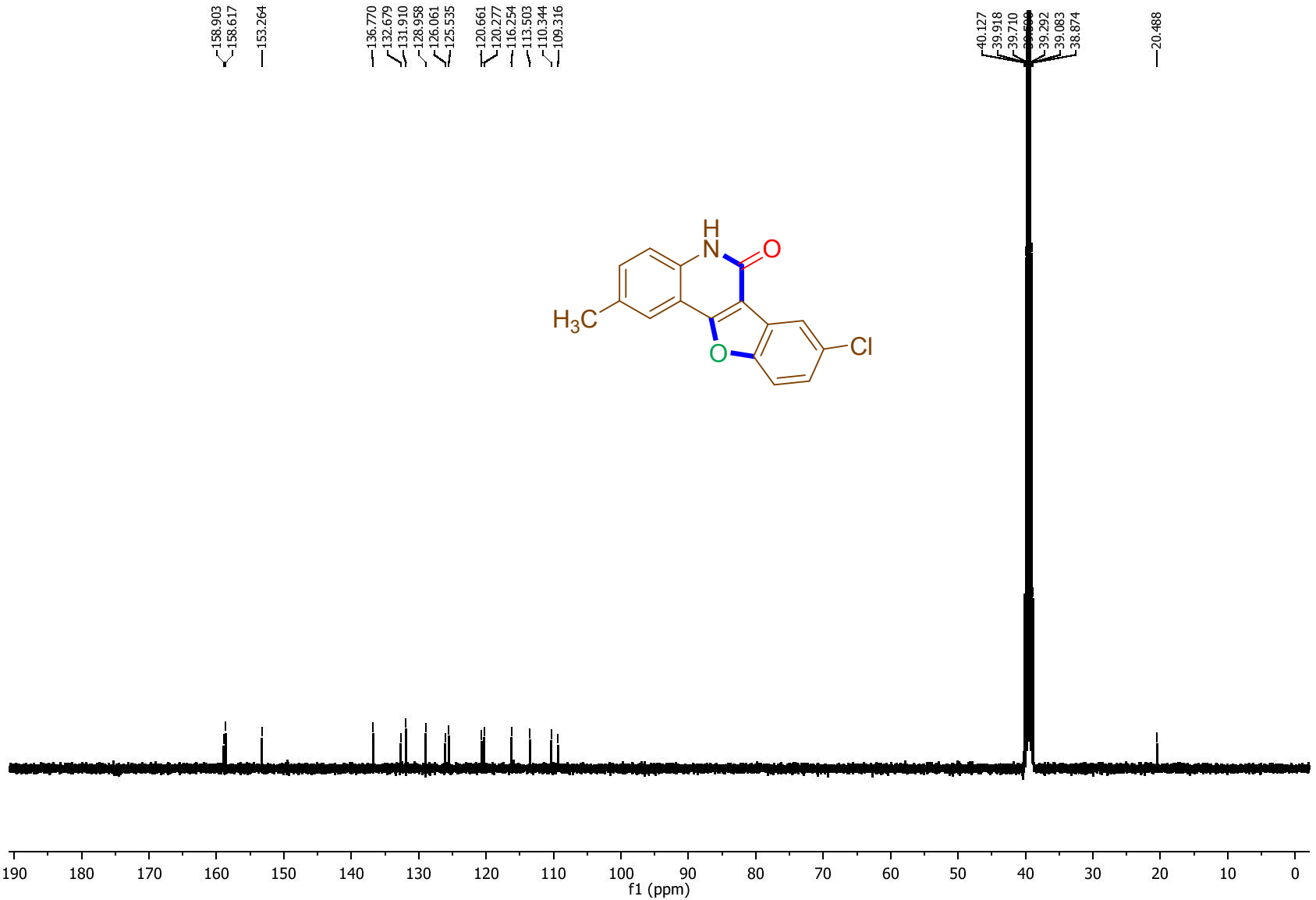
2-(Tert-butyl)-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (22a): ^{13}CNMR (100 MHz, DMSO-d_6)



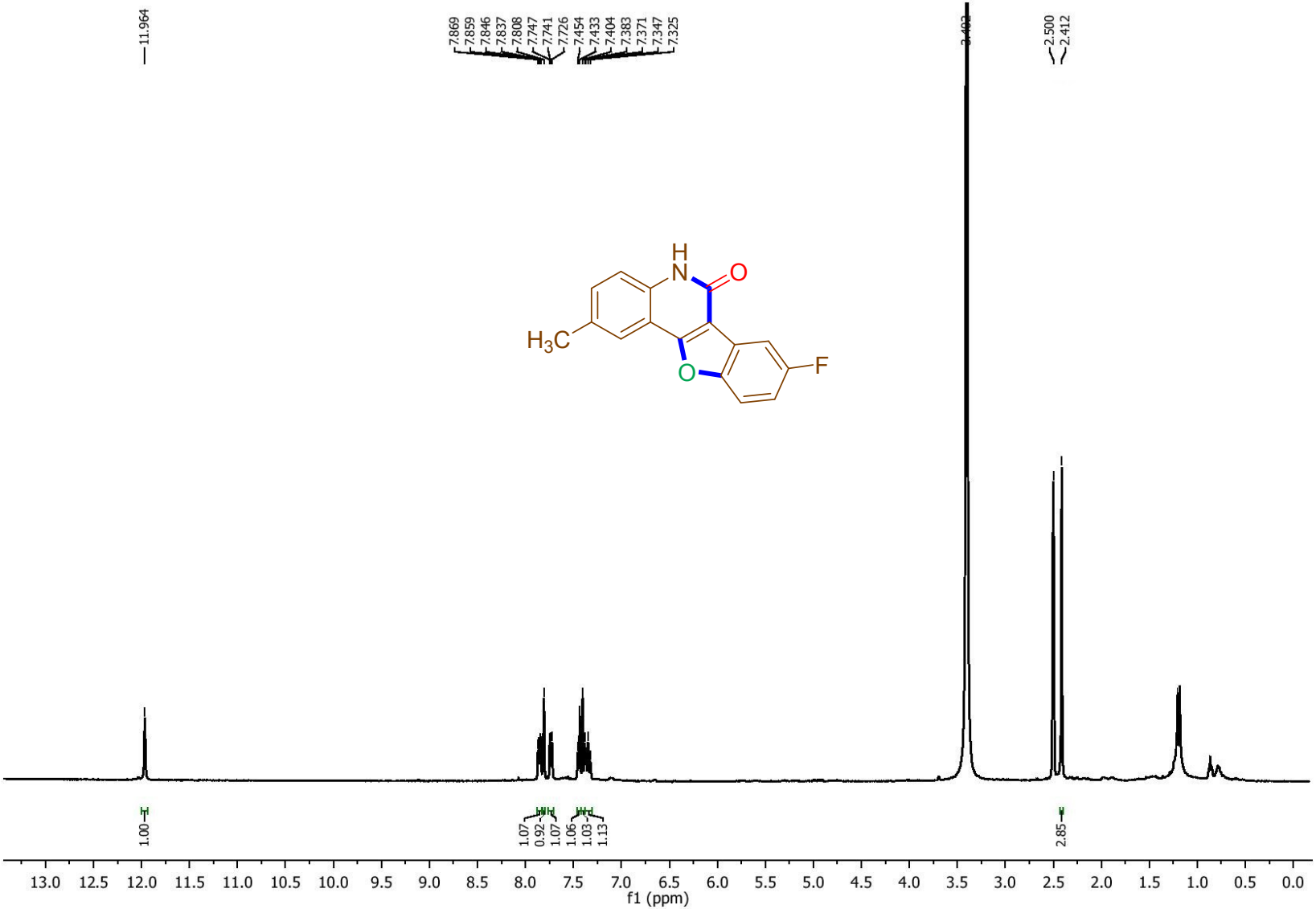
8-Chloro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (23a): ^{1}HNMR (400 MHz, DMSO-d_6)



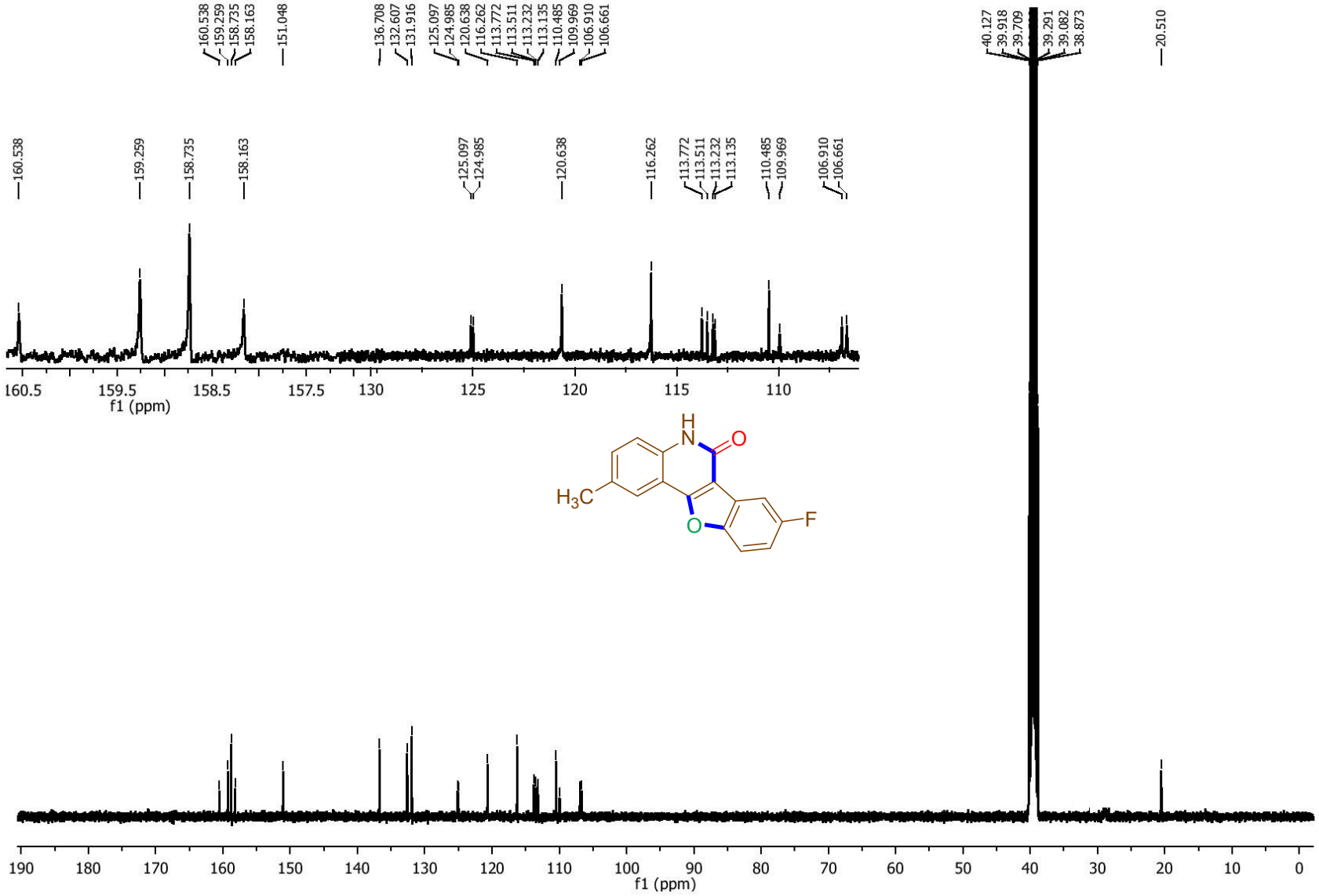
8-Chloro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (23a): ^{13}CNMR (100 MHz, DMSO-d_6)



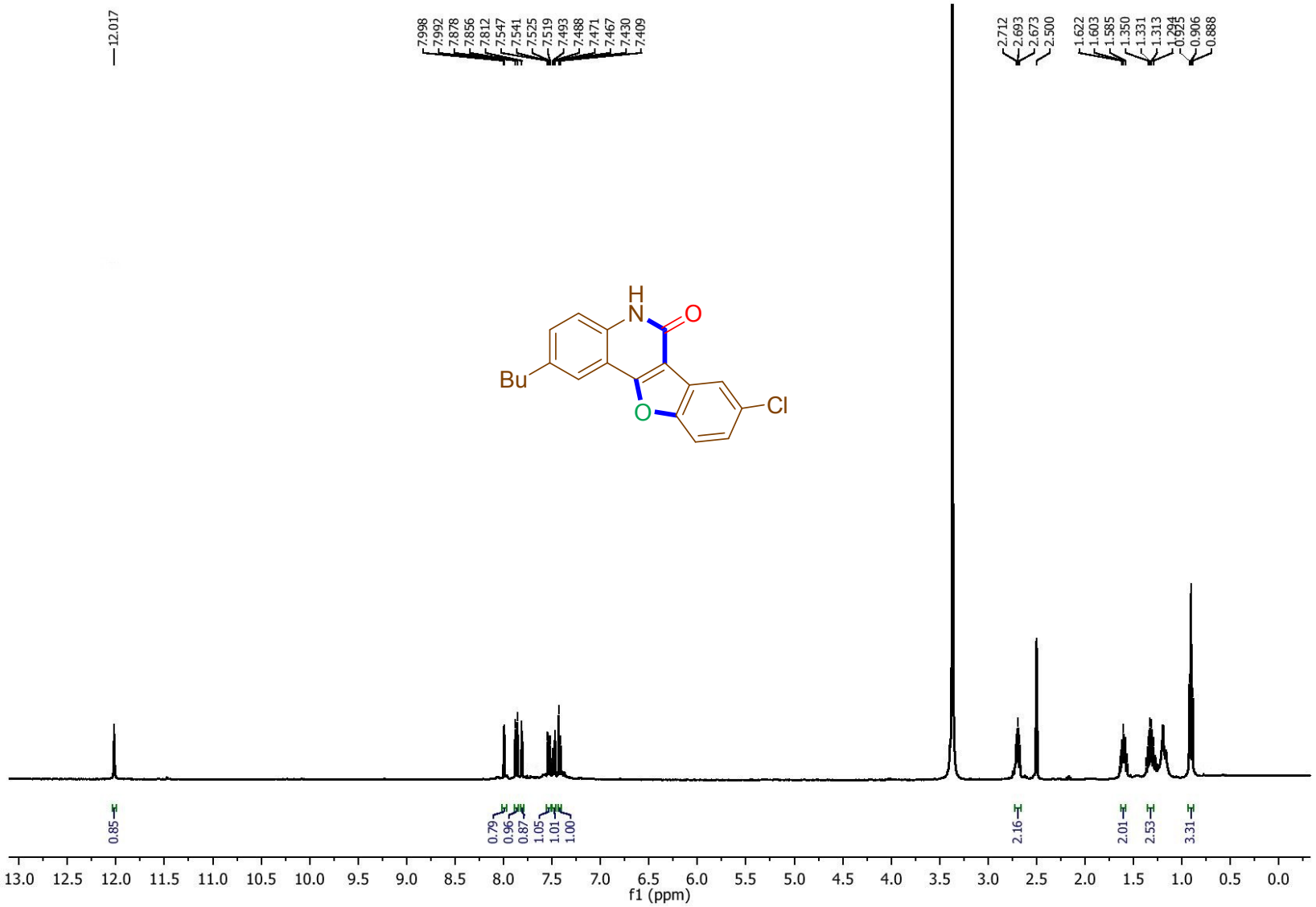
8-Fluoro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (24a): ^{1}HNMR (400 MHz, DMSO-d_6)



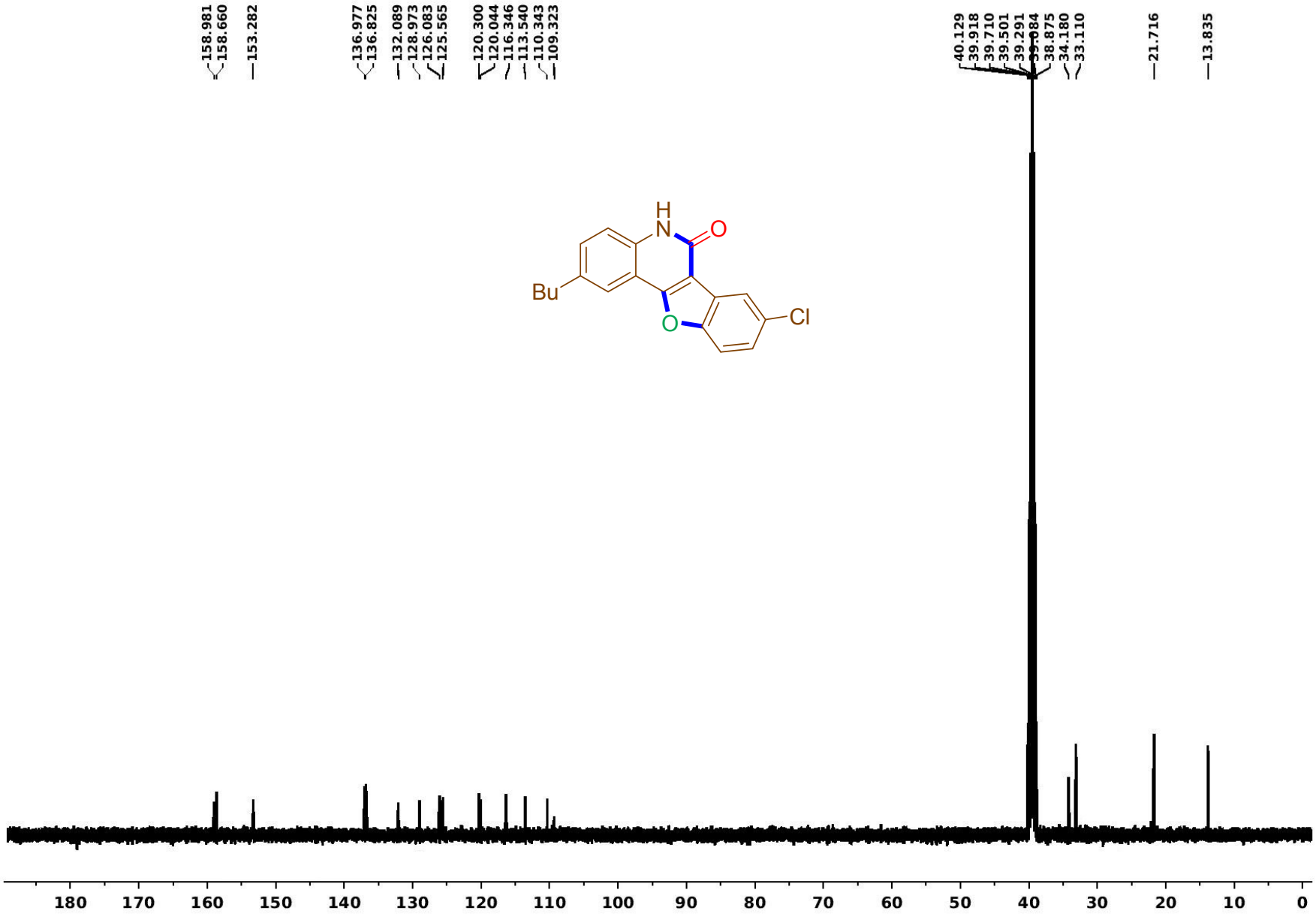
8-Fluoro-2-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (24a): ^{13}CNMR (100 MHz, DMSO-d_6)



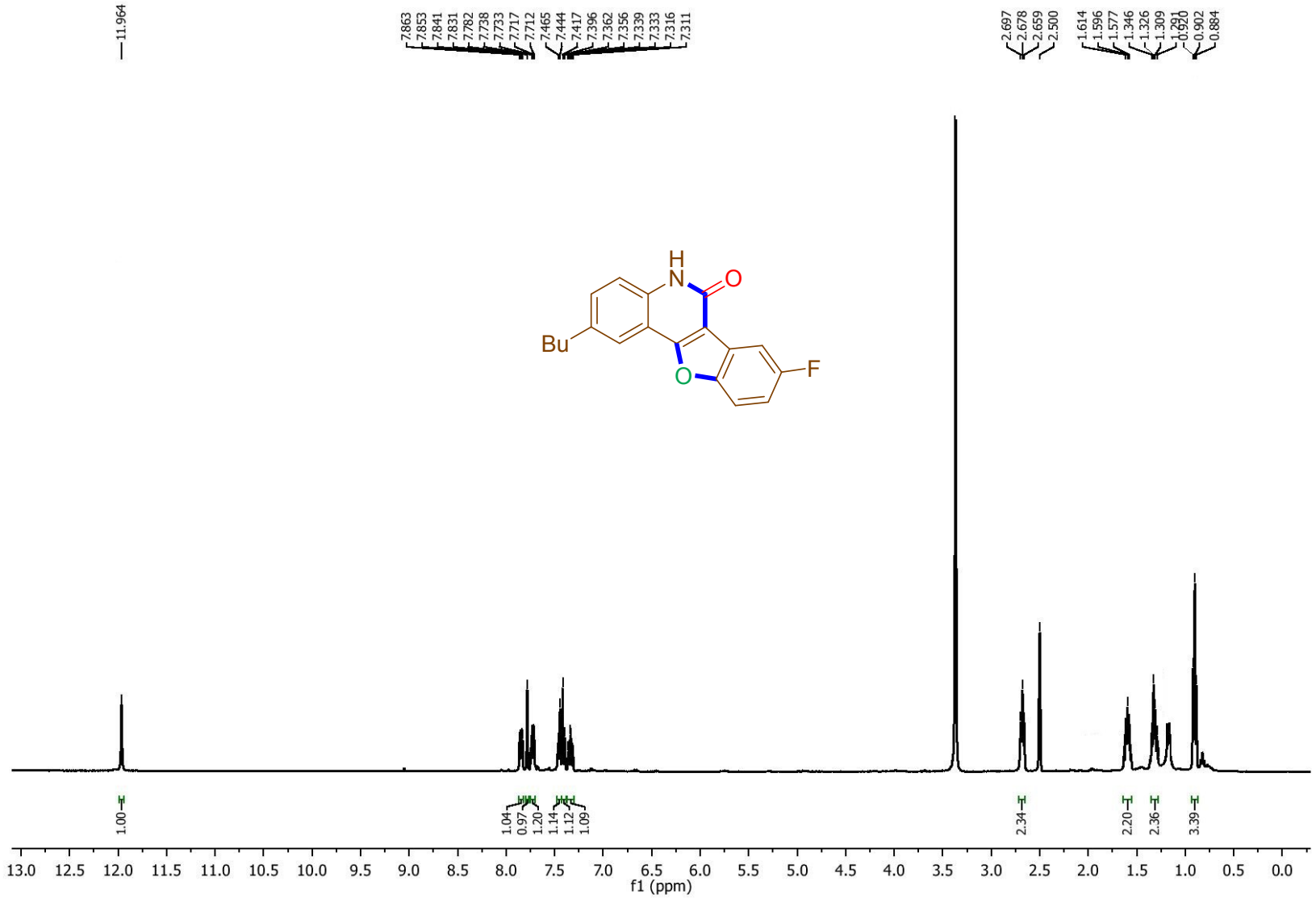
2-Butyl-8-chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (25a): ^{1}HNMR (400 MHz, DMSO-d_6)



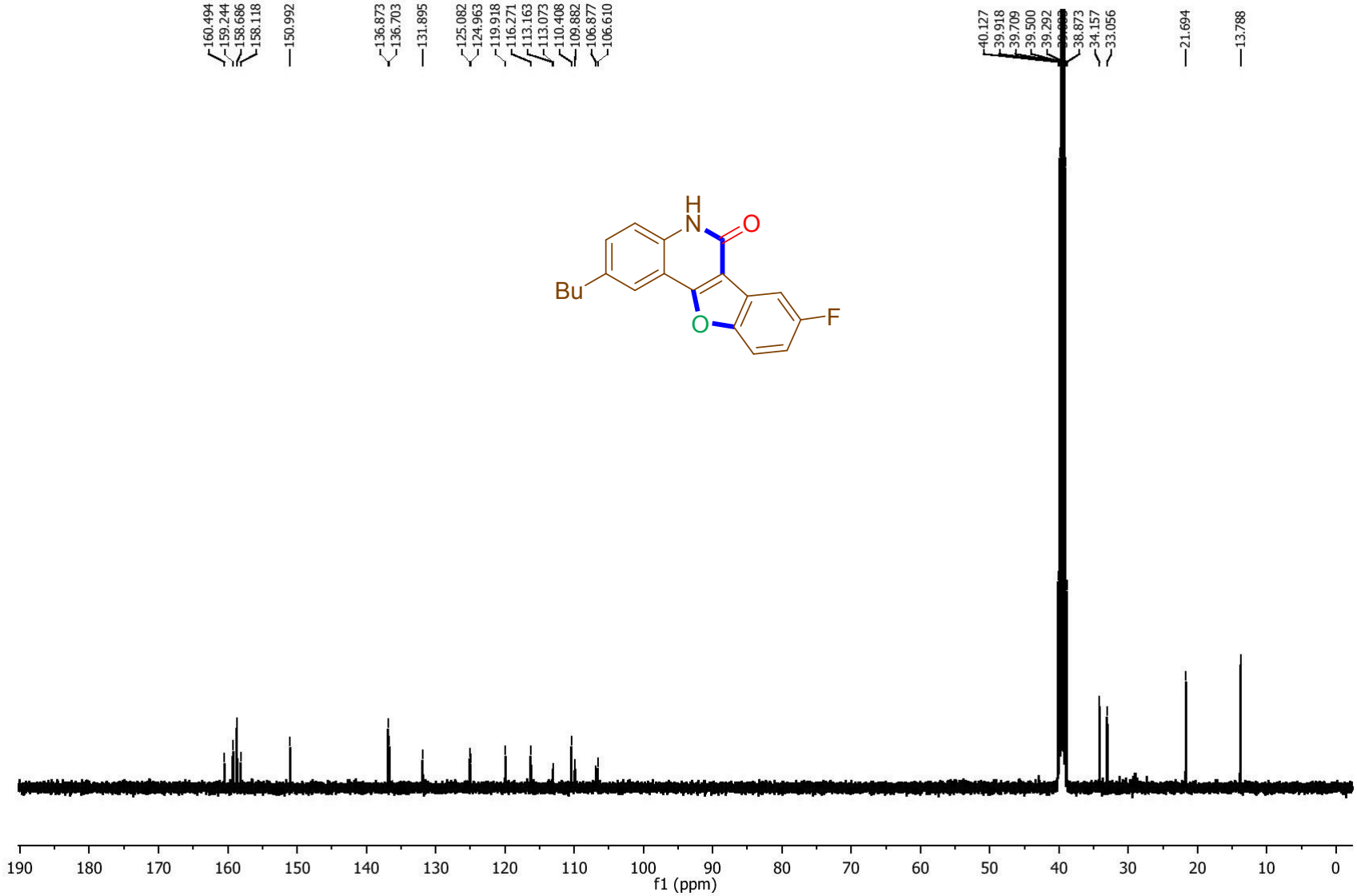
2-Butyl-8-chlorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (25a): ^{13}CNMR (100 MHz, DMSO-d_6)



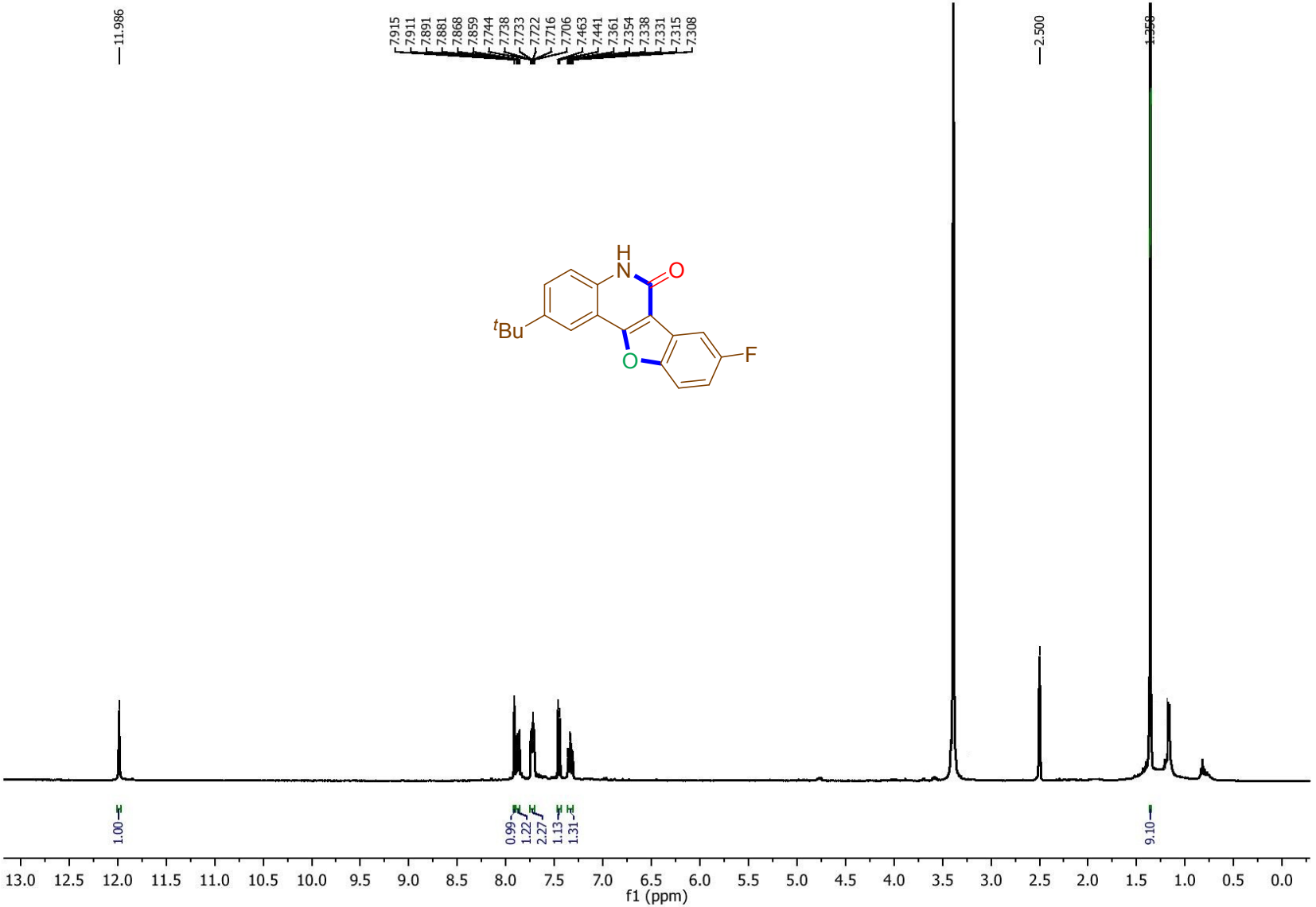
2-Butyl-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (26a): ^{1}HNMR (400 MHz, DMSO-d_6)



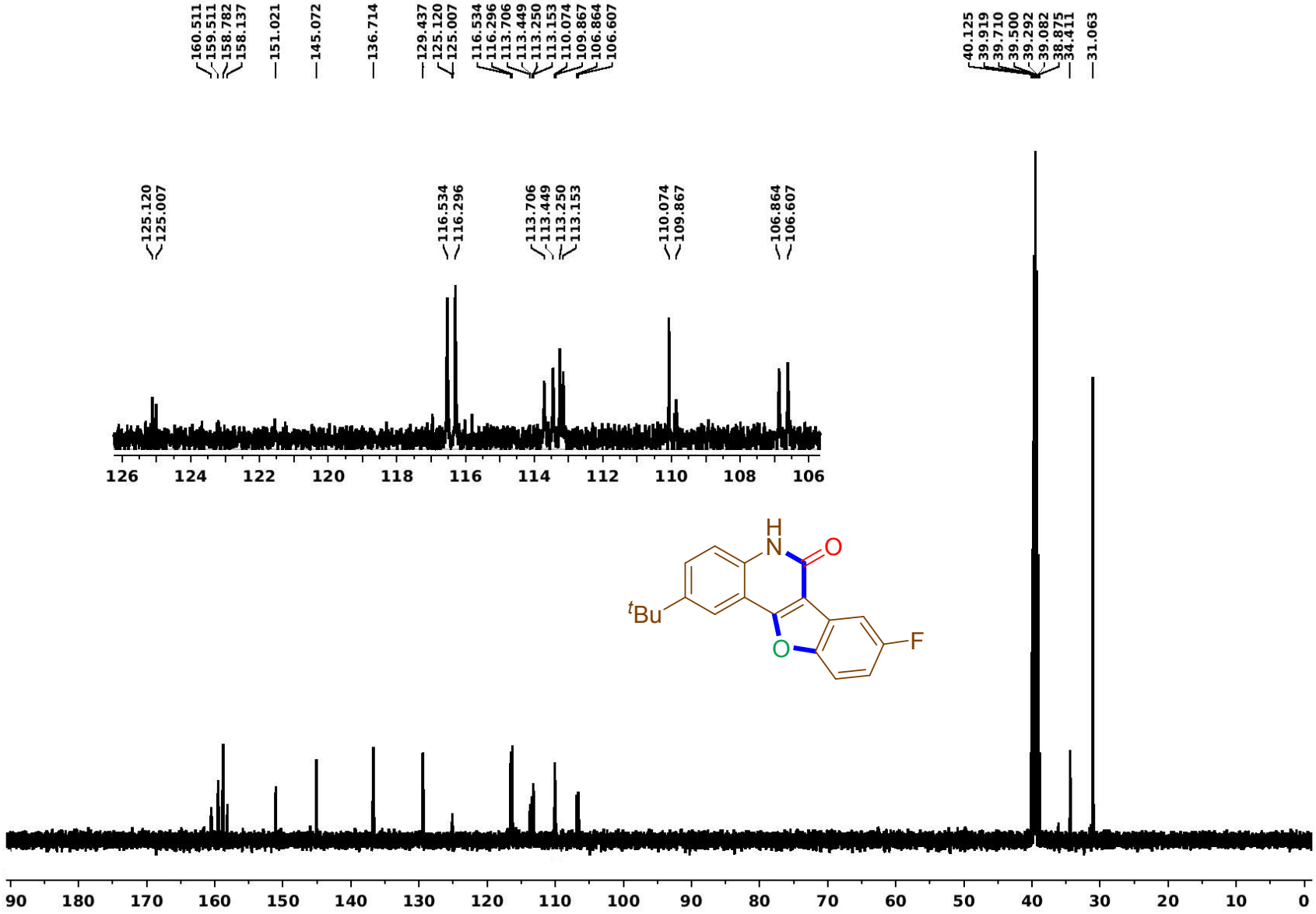
2-Butyl-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (26a): ^{13}CNMR (100 MHz, DMSO-d_6)



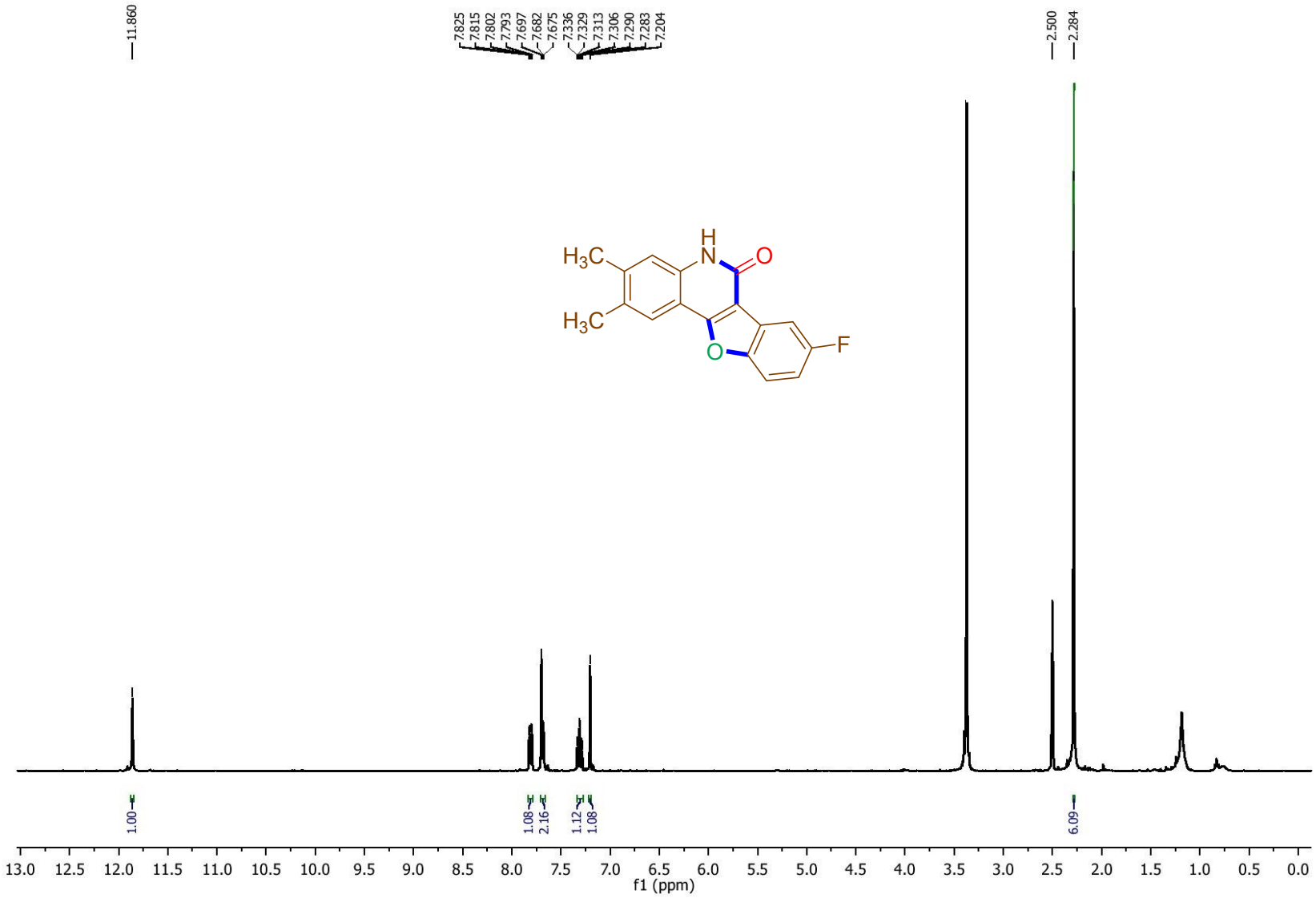
2-(Tert-butyl)-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (27a): ^{1}HNMR (400 MHz, DMSO-d_6)



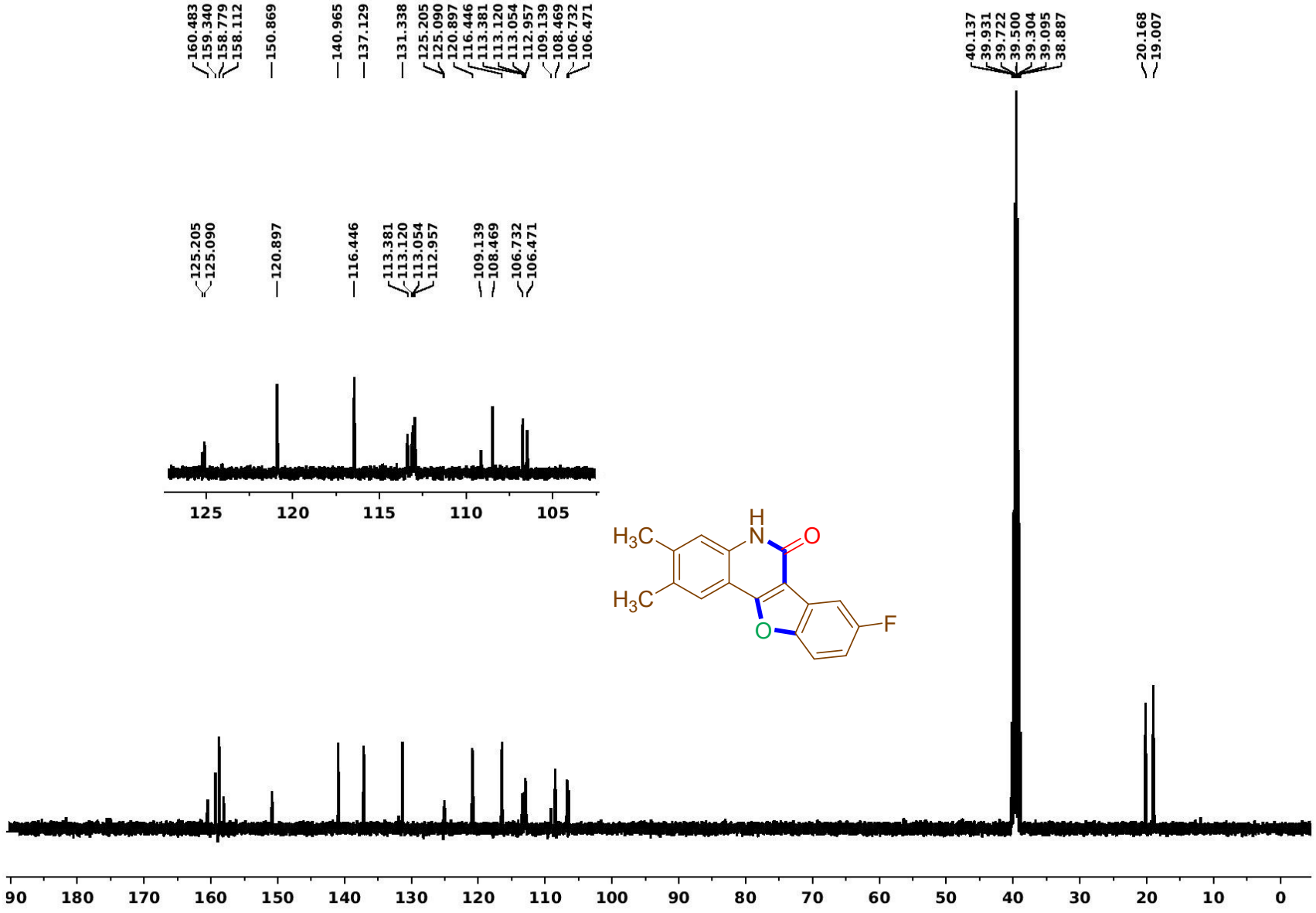
2-(Tert-butyl)-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (27a): ^{13}CNMR (100 MHz, DMSO-d_6)



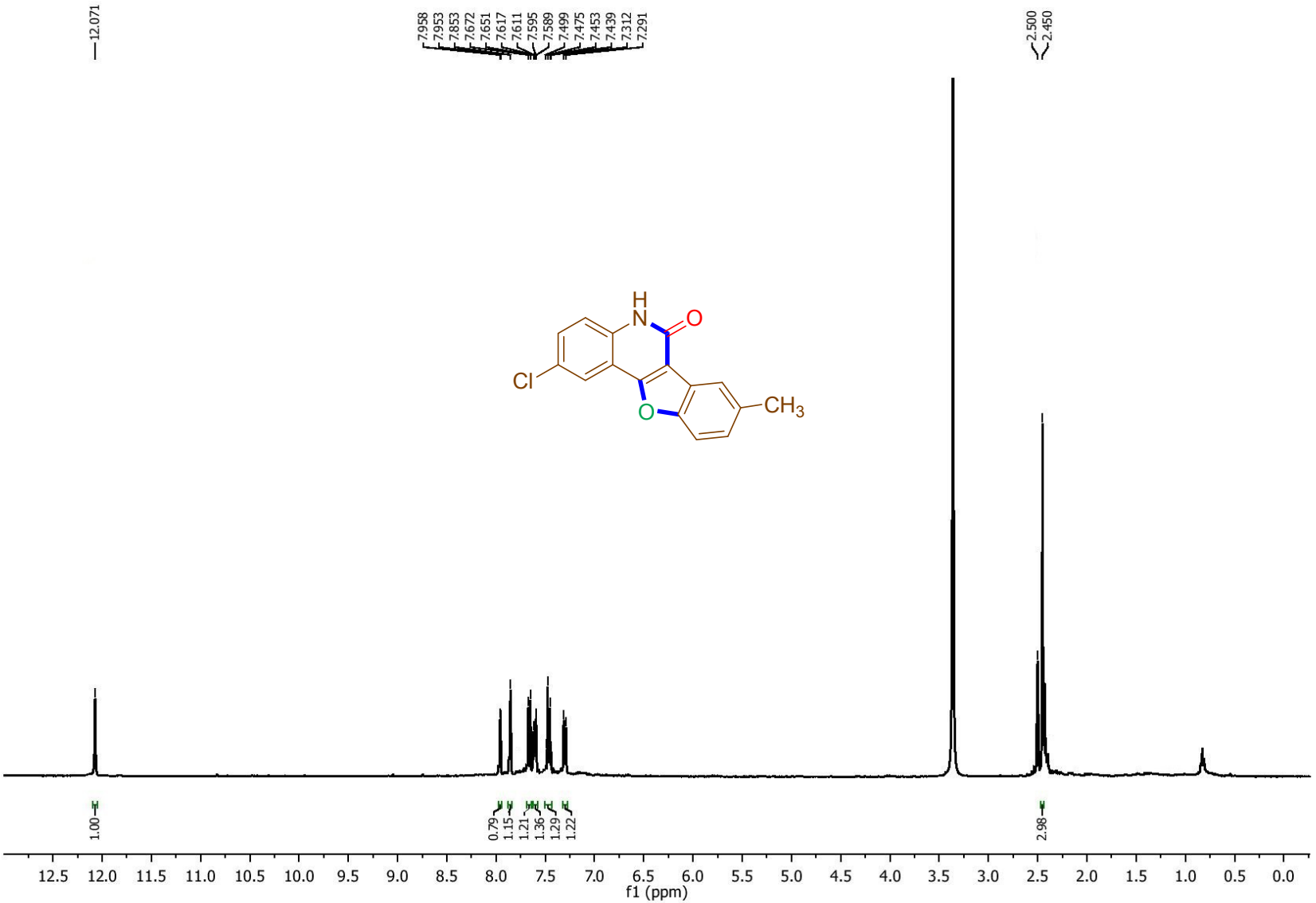
8-Fluoro-2,3-dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (28a): ^{1}HNMR (400 MHz, DMSO-d_6)



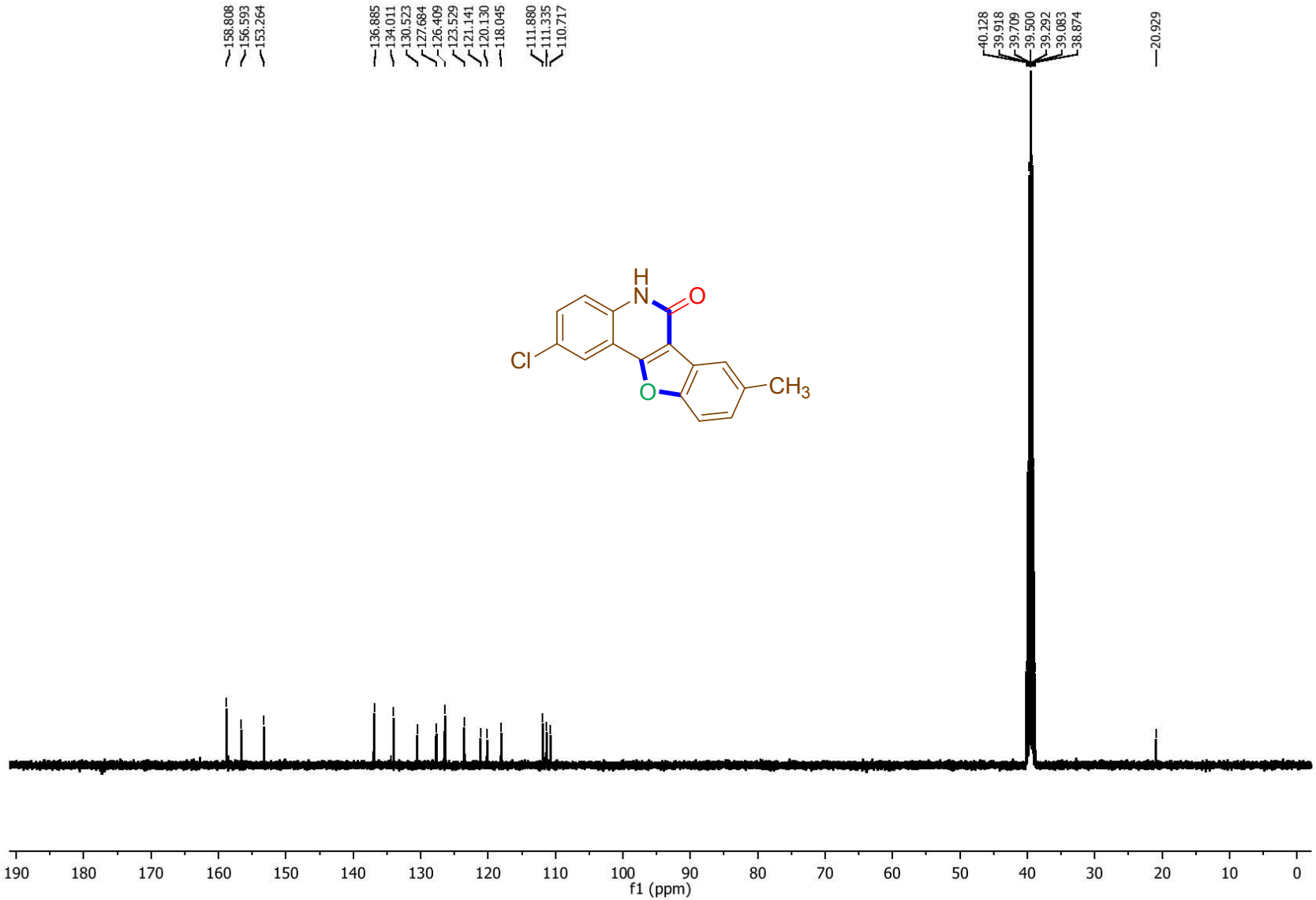
8-Fluoro-2,3-dimethylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (28a): ^{13}CNMR (100 MHz, DMSO-d_6)



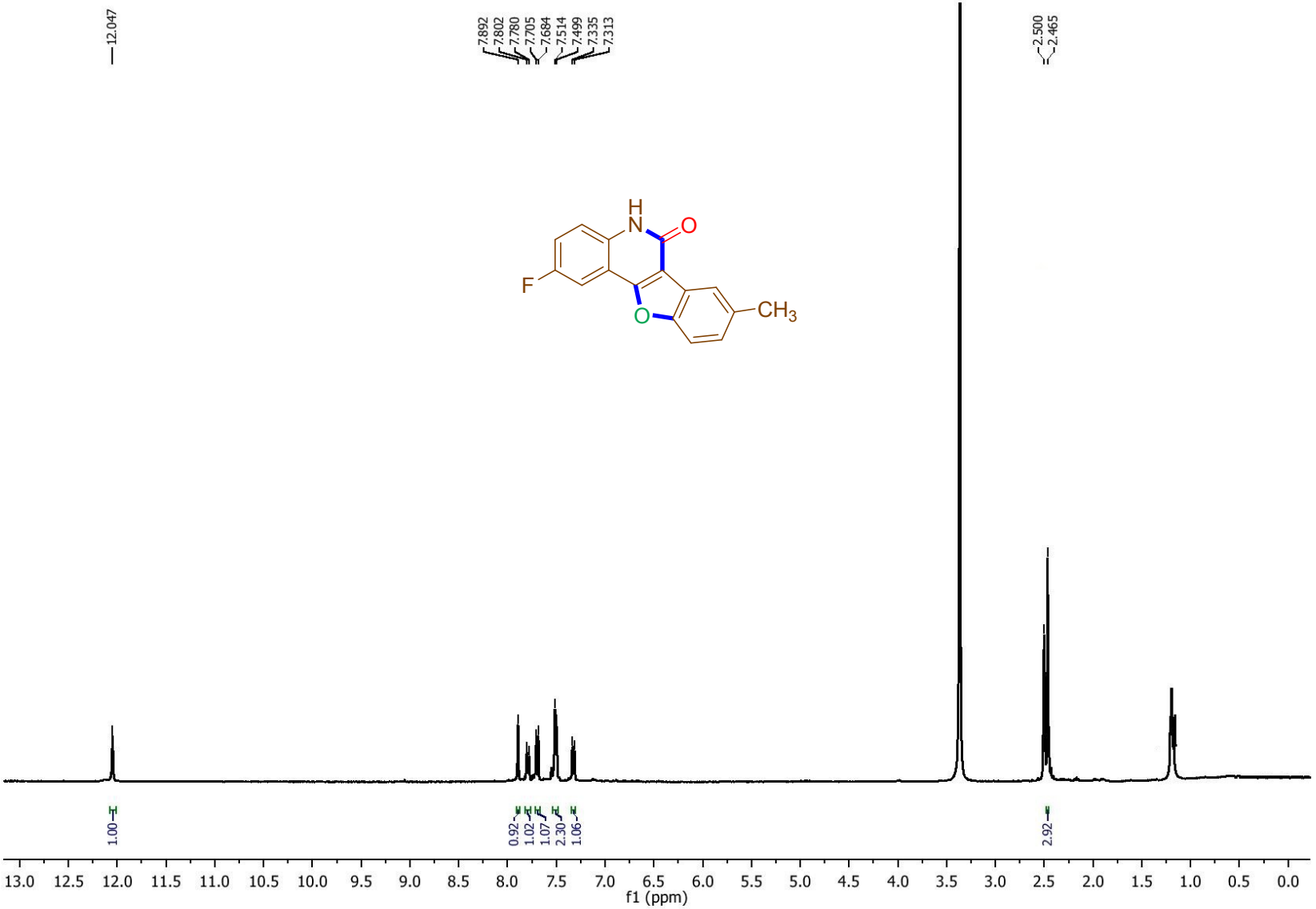
2-Chloro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (29a): ^{1}HNMR (400 MHz, DMSO-d_6)



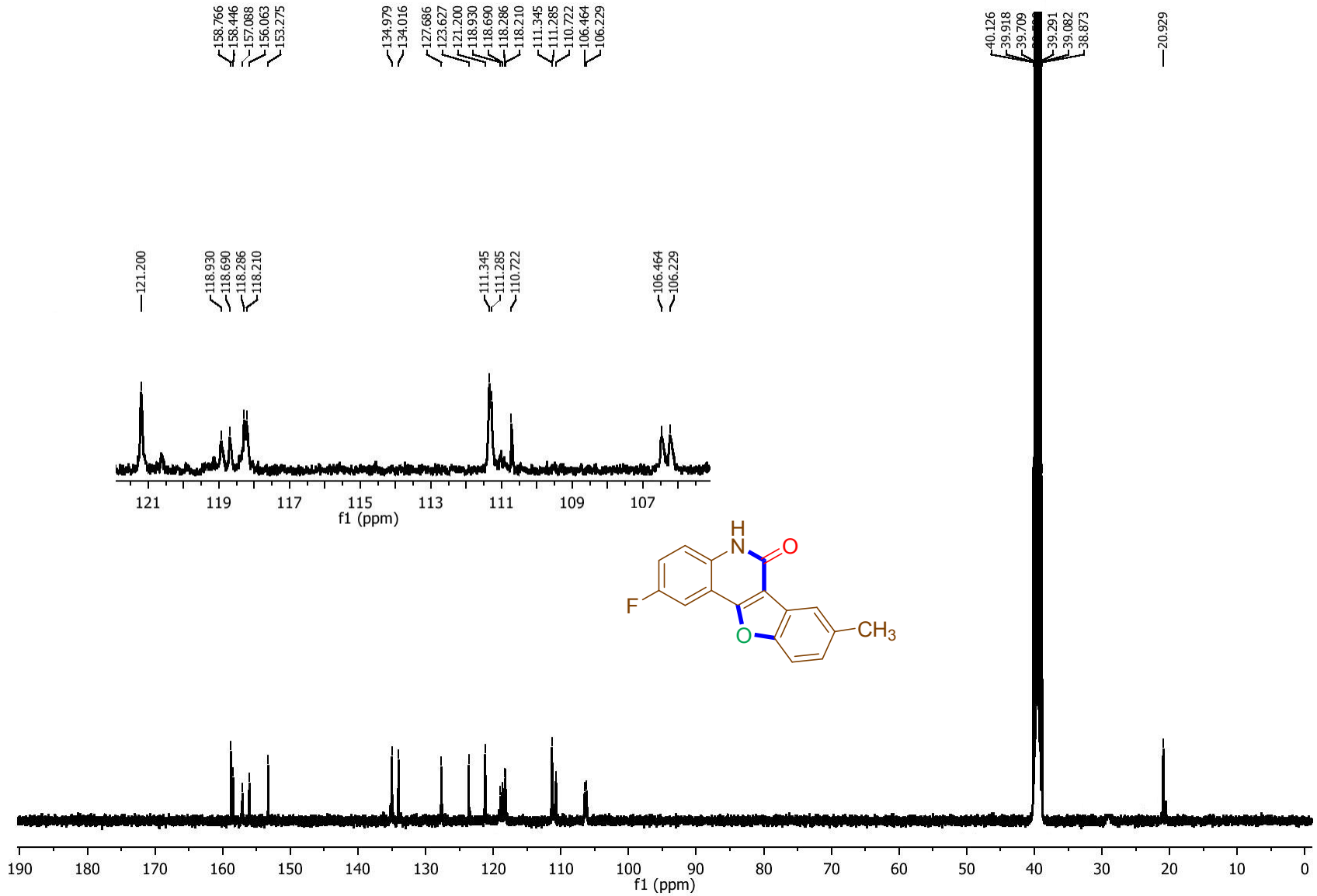
2-Chloro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (29a): ^{13}CNMR (100 MHz, DMSO-d_6)



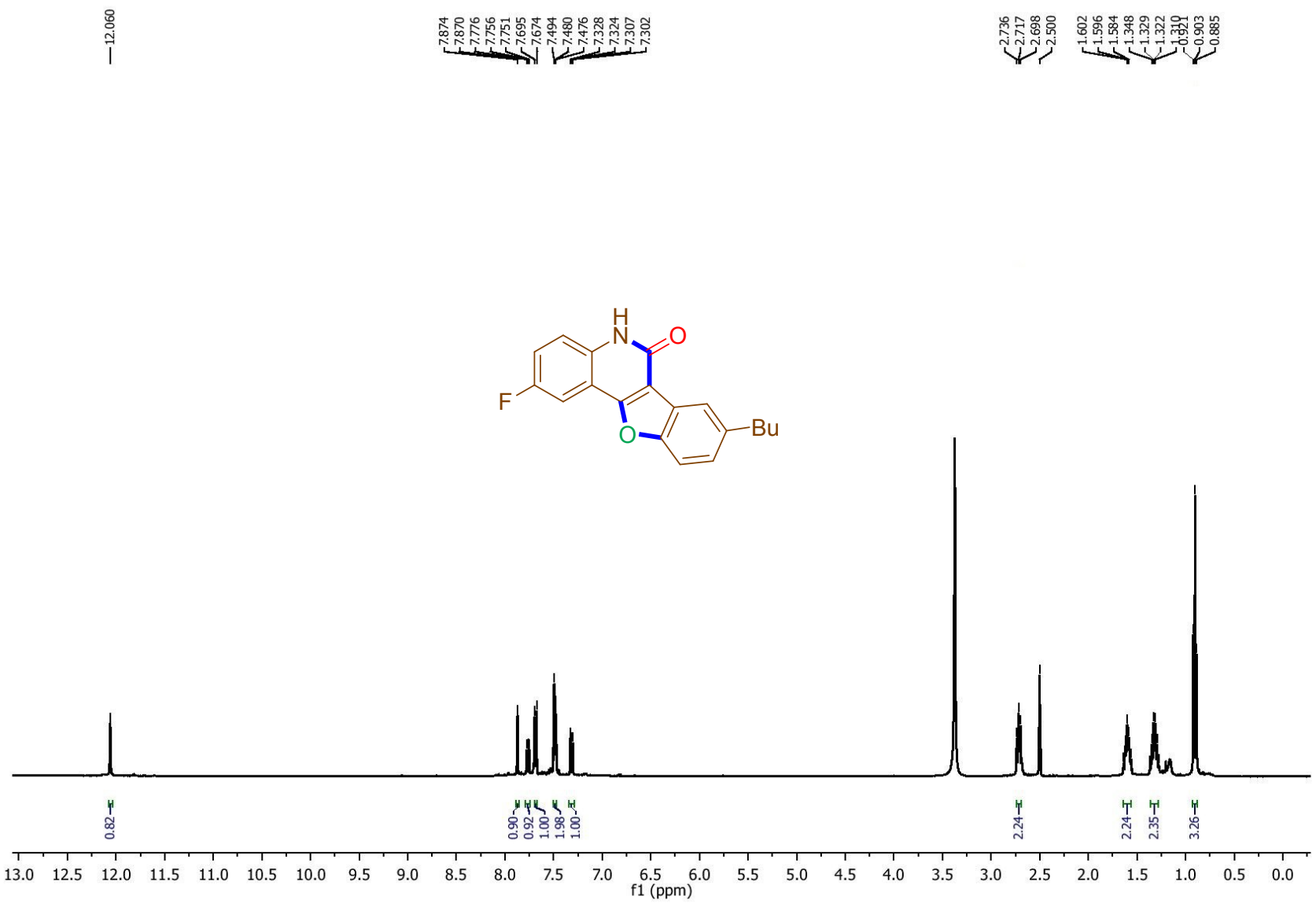
2-Fuoro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (30a): ^{1}HNMR (400 MHz, DMSO-d_6)



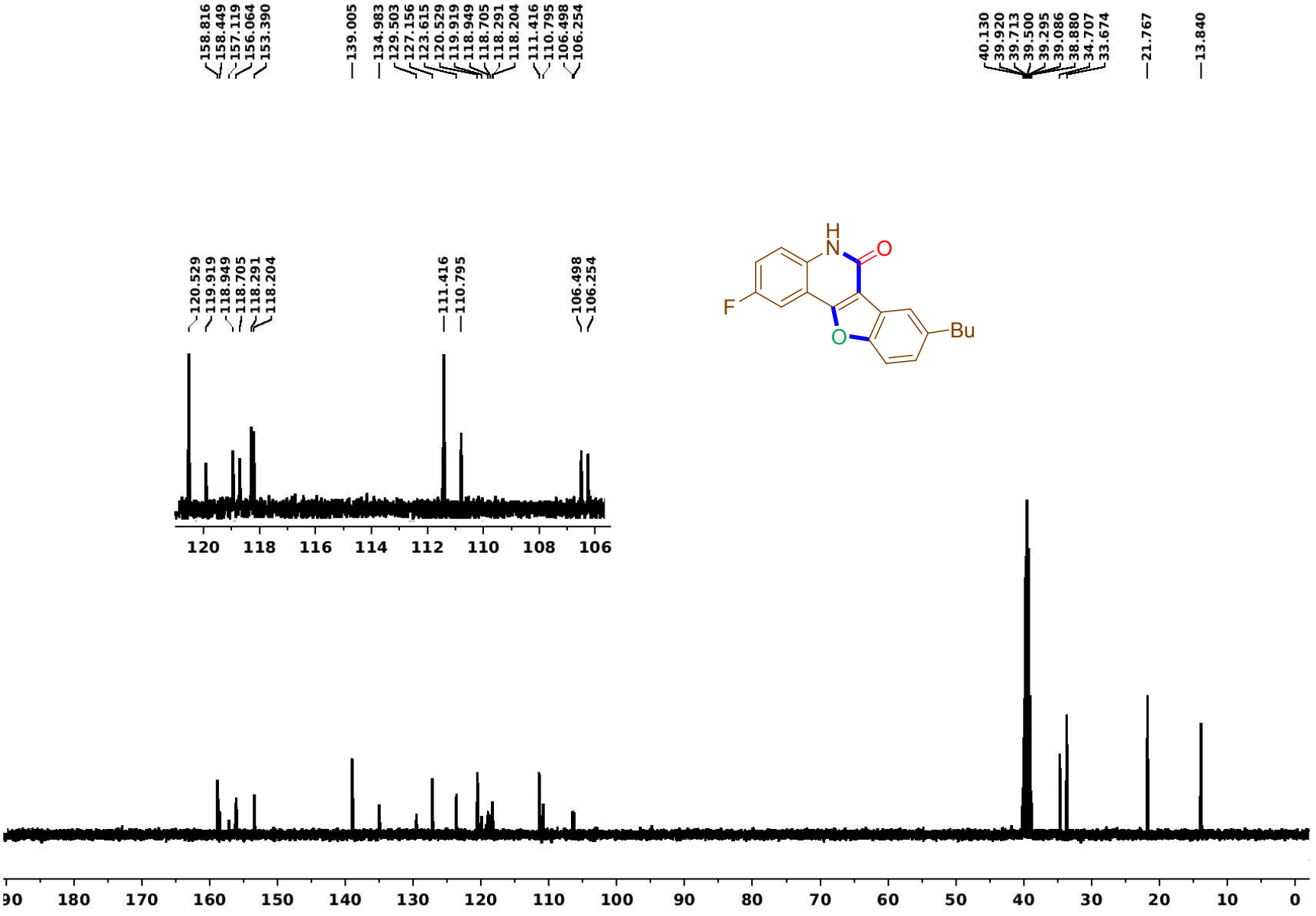
2-Fuoro-8-methylbenzofuro[3,2-*c*]quinolin-6(5*H*)-one (30a): ^{13}CNMR (150 MHz, DMSO-d_6)



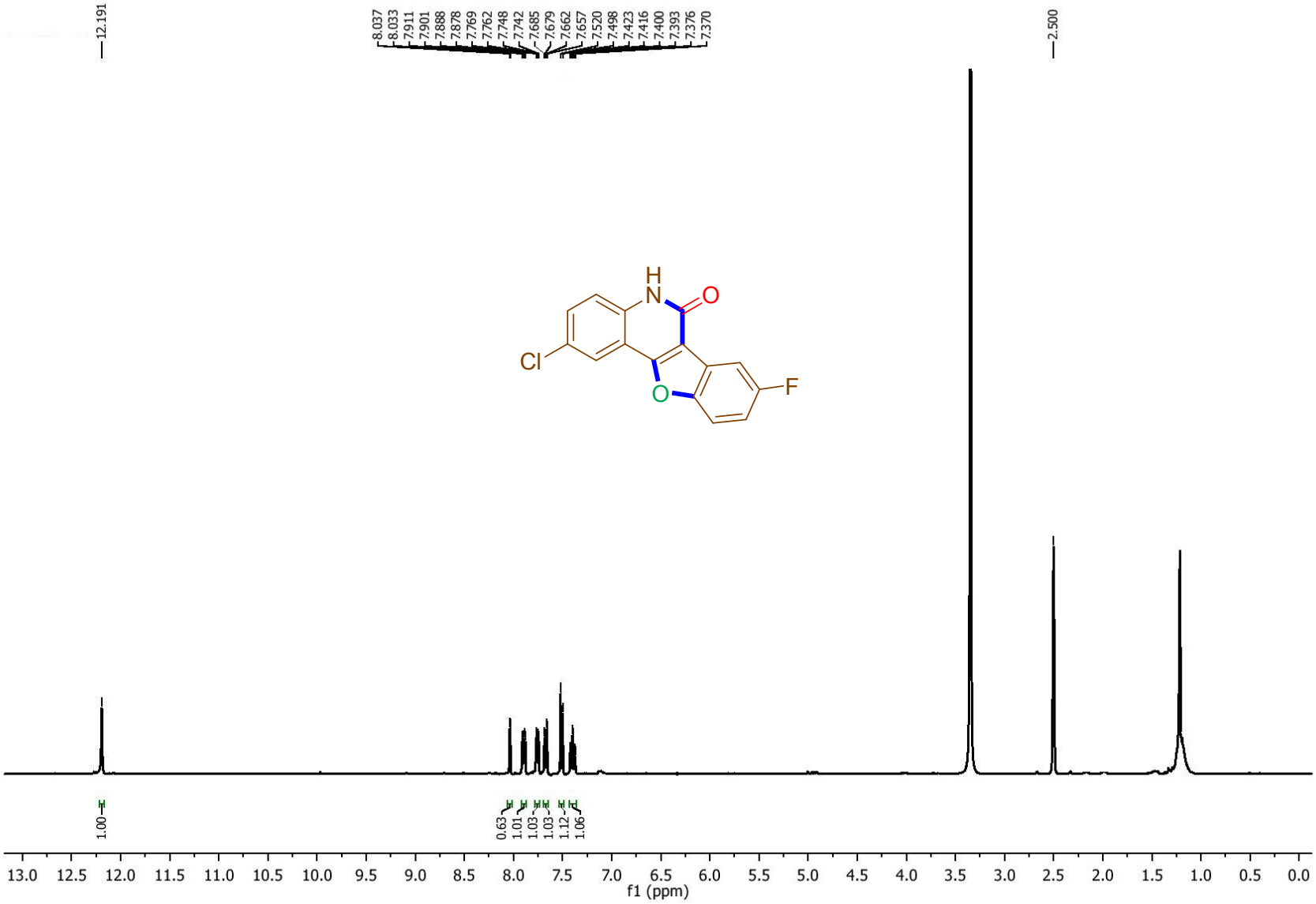
8-Butyl-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (31a): ^{1}HNMR (400 MHz, DMSO-d_6)



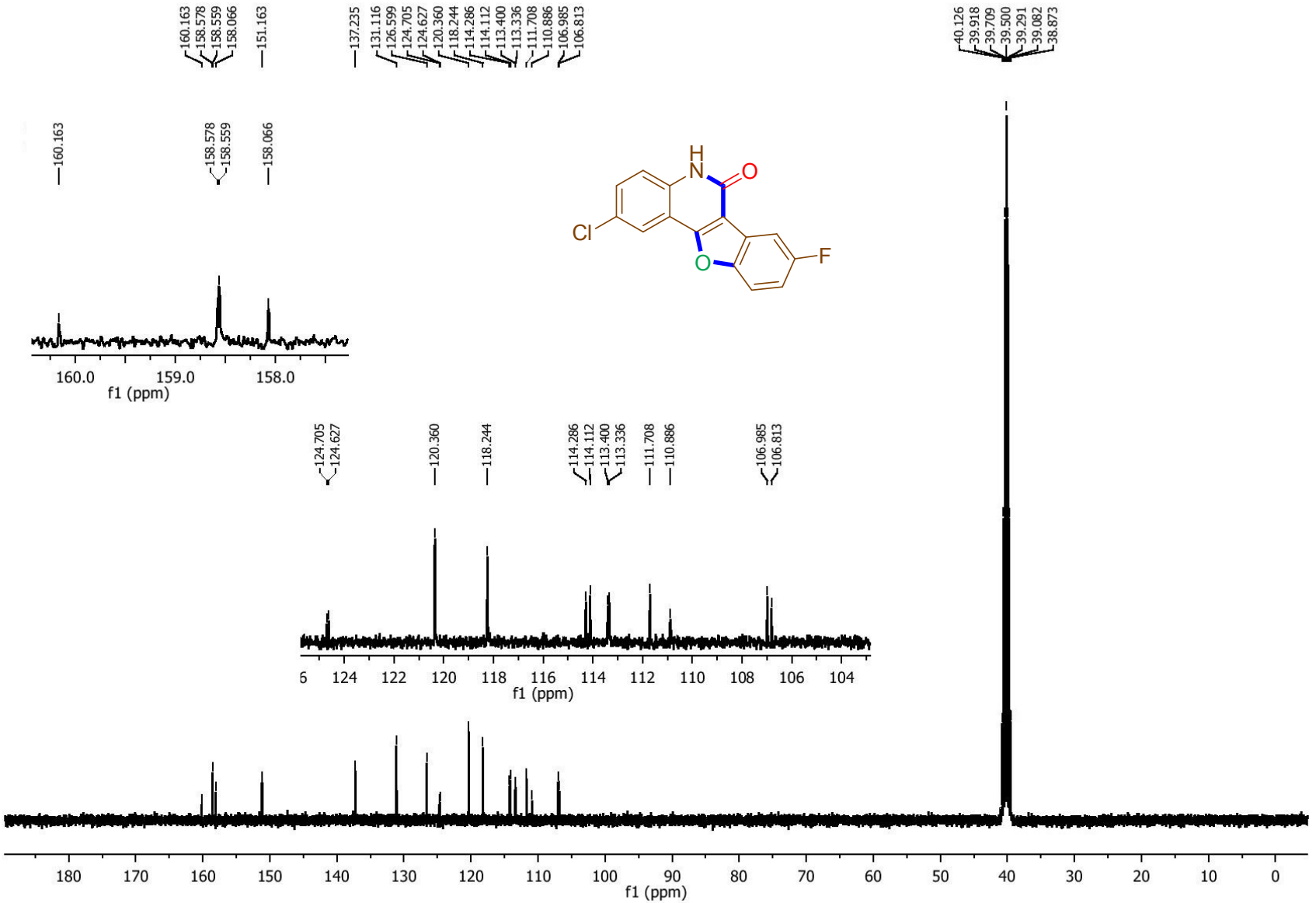
8-Butyl-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (31a): ^{13}CNMR (100 MHz, DMSO-d_6)



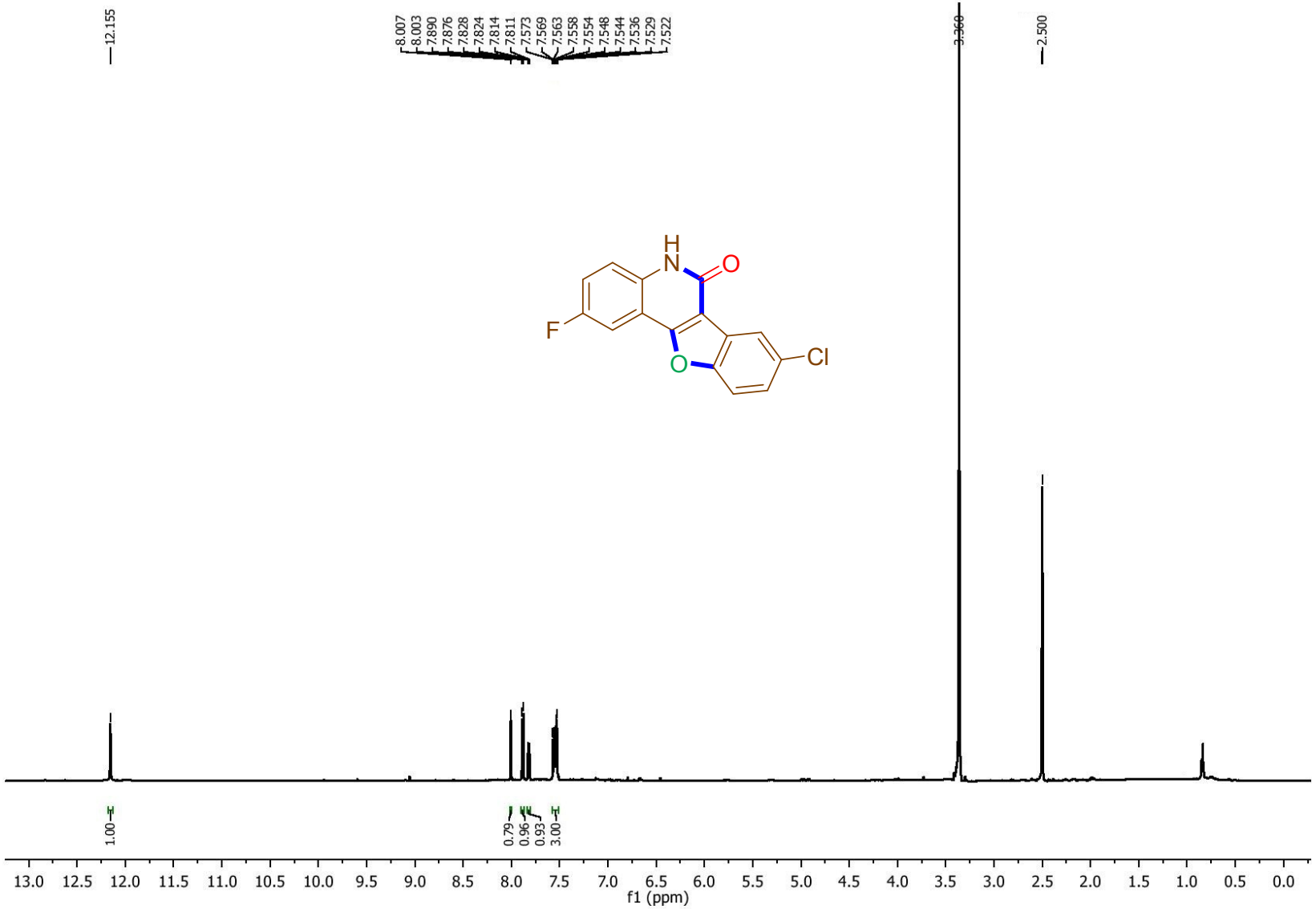
2-Chloro-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (32a): ^{1}HNMR (400 MHz, DMSO-d_6)



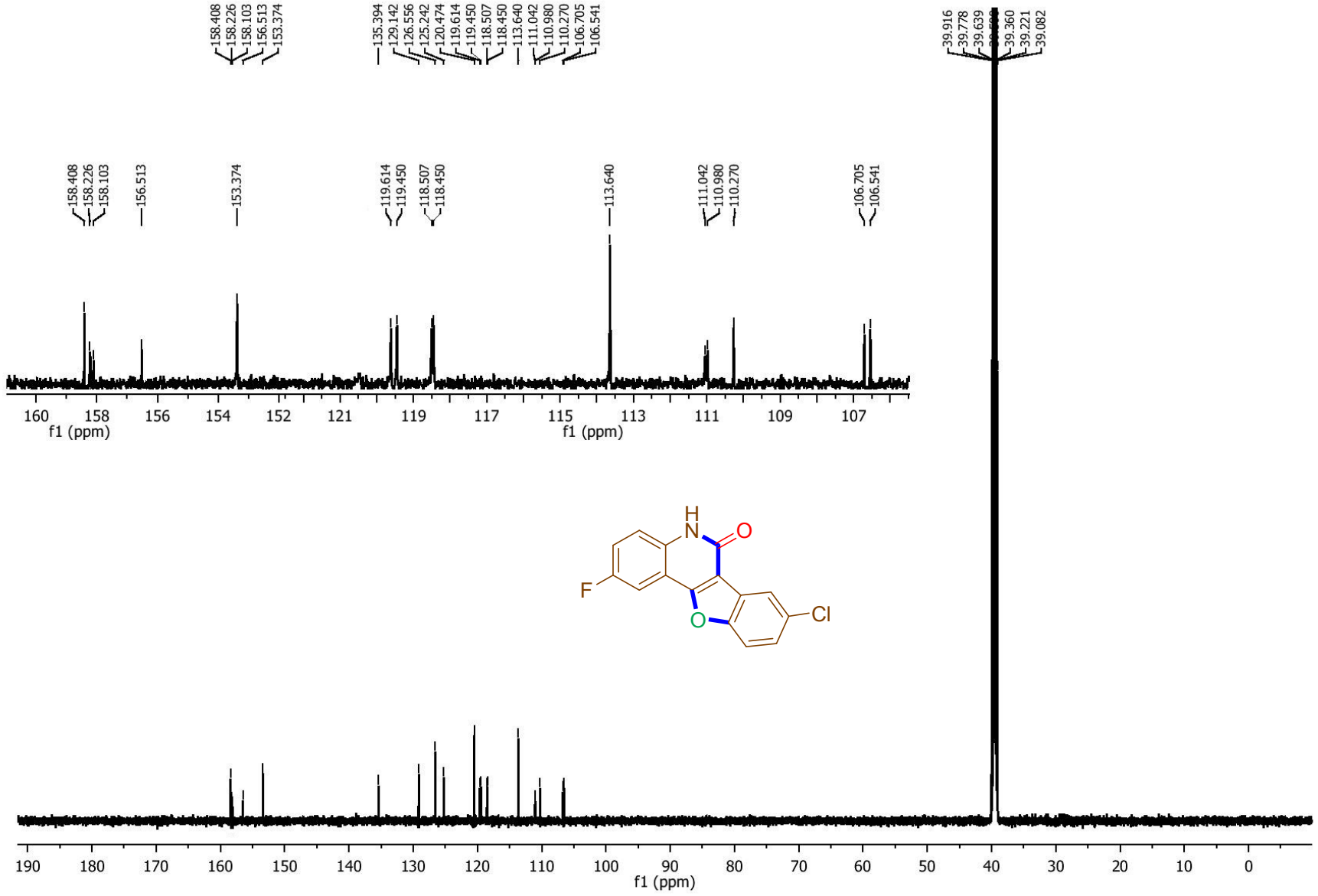
2-Chloro-8-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (32a): ^{13}CNMR (150 MHz, DMSO-d_6)



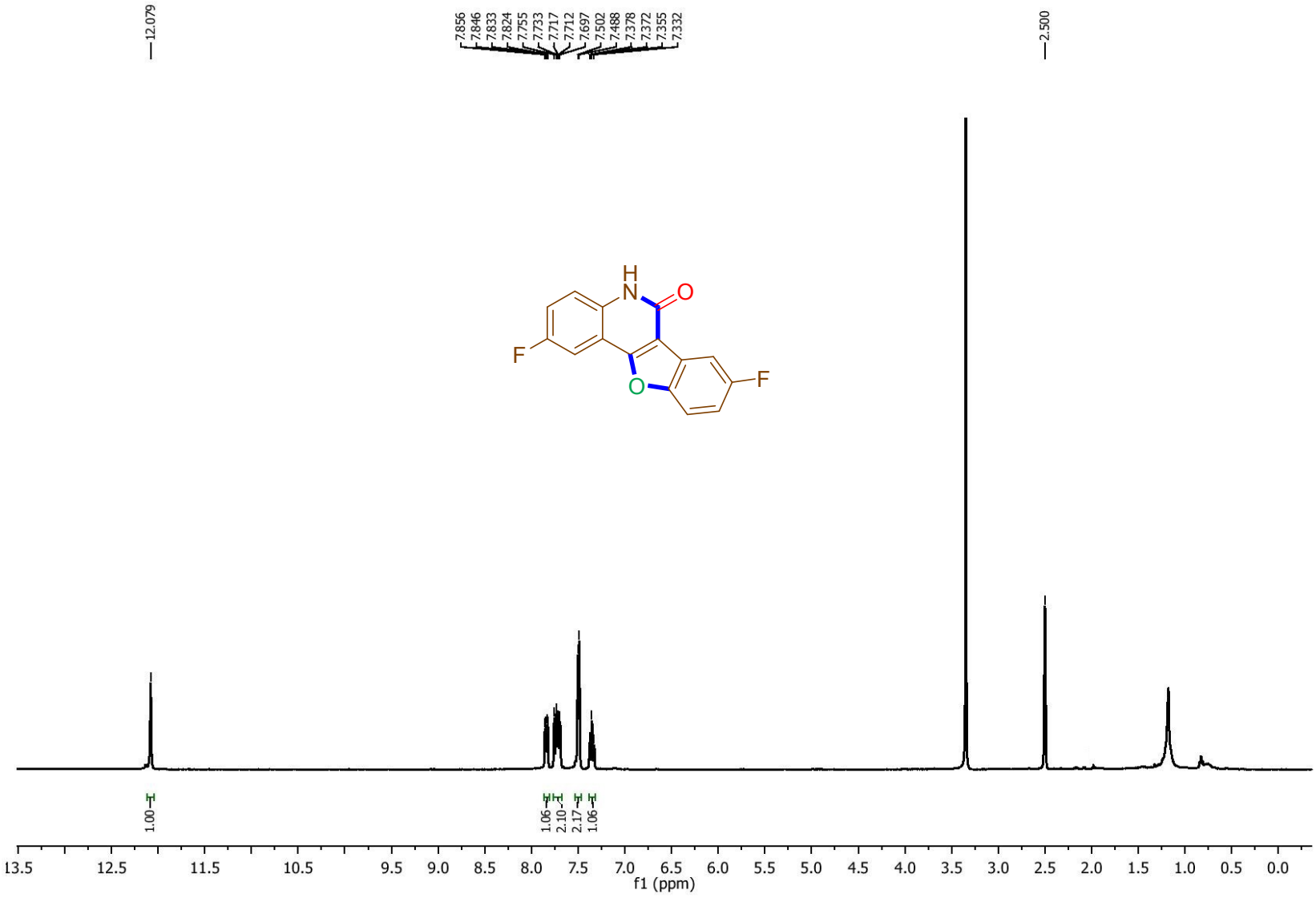
8-Chloro-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (33a): ^{1}HNMR (400 MHz, DMSO-d_6)



8-Chloro-2-fluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (33a): ^{13}CNMR (150 MHz, DMSO-d_6)



2,8-Difluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (34a): ^{1}HNMR (400 MHz, DMSO-d_6)



2,8-Difluorobenzofuro[3,2-*c*]quinolin-6(5*H*)-one (34a): ^{13}CNMR (100 MHz, DMSO-d_6)