

## Supporting Information

### Base-promoted triple cleavage of $\text{CCl}_2\text{Br}$ : A direct one-pot synthesis of unsymmetrical oxalamide derivatives

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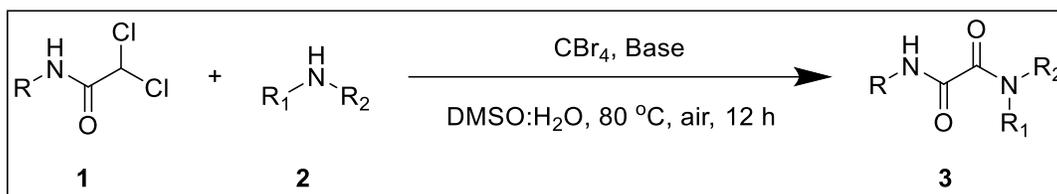
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## 1. General information

All chemicals were purchased from commercial providers (Sigma Aldrich, Alfa Aesar, TCI, and Matrix Scientific) and used directly without further purification unless otherwise noted. Well-cleaned and oven dried glassware was used for the experiments. The reaction was monitored by Thin Layer Chromatography (TLC), purchased as pre-coated with silica gel 60 F254 from Merck. Column chromatography was carried out using the silica gel 230-400 mesh (purchased from Merck) with a mixture of ethyl acetate/hexane or hexane as the eluent.  $^1\text{H}$  NMR spectra were recorded on 400 MHz and 600 MHz,  $^{13}\text{C}$ -NMR spectra were recorded on 100 MHz and 151 MHz, and Varian mercury, Mercury plus, Unity plus, Jeol, and VNMR spectrometer using  $\text{CDCl}_3$  or  $\text{DMSO}-d_6$  as solvent. The spectra were recorded and presented in chemical shifts (ppm) with tetramethylsilane (TMS) used as an internal standard. Multiplicities were provided in s (singlet), d (doublet), t (triplet), q (quartet), bs (broad singlet), m (multiplet) and dd (doublet of doublet), dq (doublet of quartets), td (triplet of doublets), Coupling constants ( $J$ ) were reported in Hz. All the compounds were characterized by ESI mass on Thermo Finnigan (TRACEGC- POLARISQ) and HRMS (HR-ESI and HR-EI mode) on the JMS-700 spectrometer. Melting points were determined using Fargo instruments.

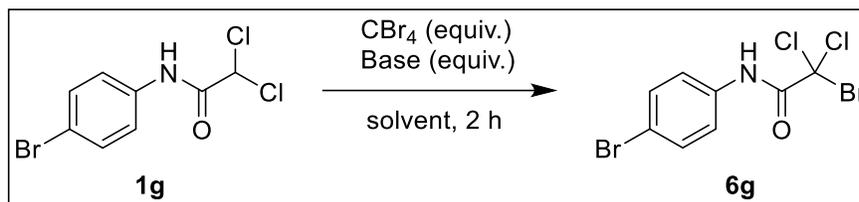
2. Table S1. Optimization for the reaction conditions <sup>a-k</sup>



Entry	Morpholine (equiv.)	$\text{CBr}_4$ (equiv.)	Base (equiv.)	Solvent	Yield (%) <sup>b</sup>
1	2	1.5	LiOH (2)	DMSO	45
2	2	1.5	NaOH (2)	DMSO	73
3	2	1.5	$\text{Na}_2\text{CO}_3$ (2)	DMSO	63
4	2	1.5	$\text{NaHCO}_3$ (2)	DMSO	47
<b>5</b>	<b>2</b>	<b>1.5</b>	<b><math>\text{Cs}_2\text{CO}_3</math> (2)</b>	<b>DMSO</b>	<b>90 (85) <sup>c</sup></b>
6	2	1.5	$\text{K}_2\text{HPO}_4$ (2)	DMSO	6
7	2	1.5	TEA (2)	DMSO	NR
8	2	1.5	$\text{Cs}_2\text{CO}_3$ (1.5)	DMSO	67
9	2	1.5	$\text{Cs}_2\text{CO}_3$ (1.0)	DMSO	34
10	2	1.5	-	DMSO	11
11	2	-	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	10
12	2	1	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	50
13	2	2	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	89
14	1.5	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	64
15	2.5	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	78
16	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	17 <sup>d</sup>
17	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	$\text{H}_2\text{O}$	NR
18	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	$\text{CH}_3\text{CN}$	21
19	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	MeOH	25
20	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	EtOH	42
21	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	Acetone	NR
22	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	37 <sup>e</sup>
23	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	76 <sup>f</sup>
24	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	66 <sup>g</sup>
25	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	50 <sup>h</sup>
26	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	77 <sup>i</sup>
27	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	61 <sup>j</sup>
28	2	1.5	$\text{Cs}_2\text{CO}_3$ (2)	DMSO	67 <sup>k</sup>

<sup>a</sup>The reactions were performed with **1a** (0.2 mmol scale), CBr<sub>4</sub> (equiv.), Base (equiv.), DMSO: H<sub>2</sub>O (1:0.2) 2mL, at 80 °C under open air for 12 h. <sup>b</sup>Yields were determined by <sup>1</sup>H NMR spectroscopy using 1,3,5- trimethoxybenzene as the internal standard, <sup>c</sup> isolated yield, <sup>d</sup> without H<sub>2</sub>O, <sup>e</sup> reaction was performed at room temperature, <sup>f</sup> 70 °C, <sup>g</sup> 90 °C, <sup>h</sup> 300 μL of H<sub>2</sub>O, <sup>i</sup> 500 μL of H<sub>2</sub>O, <sup>j</sup> reaction was performed under N<sub>2</sub> atmosphere, <sup>k</sup> reaction was performed under O<sub>2</sub> atmosphere; NR = no reaction.

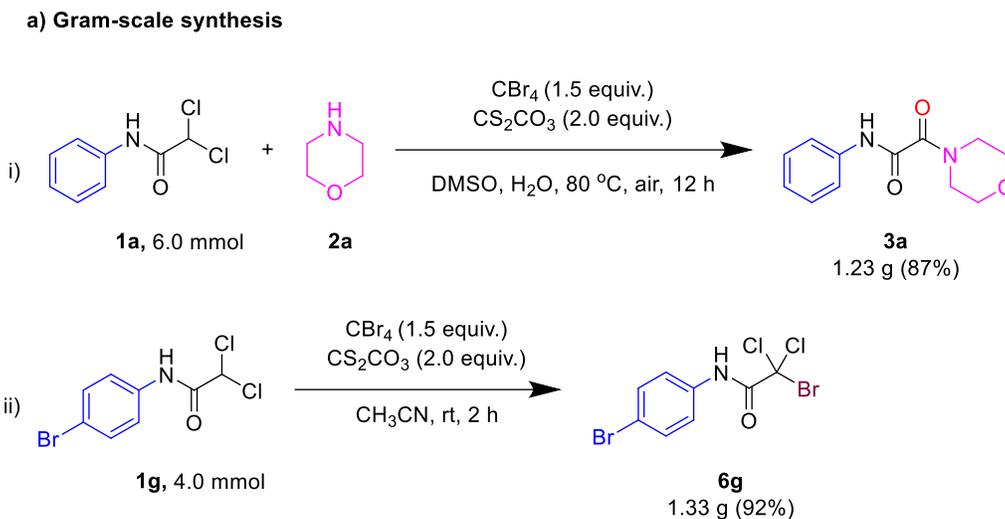
### 3. Table S2. Optimization for 2-bromo-2,2-dichloro-*N*-methylacetamide derivatives <sup>a-b</sup>



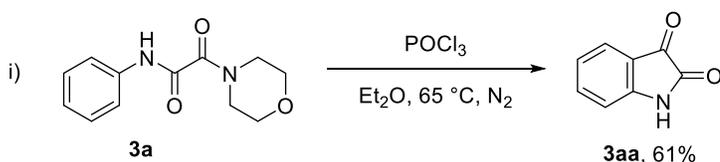
Entry	CBr <sub>4</sub> (equiv.)	Base	solvent	Yield (%) <sup>b</sup>
1	1.5	LiOH	CH <sub>3</sub> CN	87
2	1.5	NaOH	CH <sub>3</sub> CN	95
3	1.5	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN	53
4	<b>1.5</b>	<b>Cs<sub>2</sub>CO<sub>3</sub></b>	<b>CH<sub>3</sub>CN</b>	<b>98</b>
5	1.5	Et <sub>3</sub> N	CH <sub>3</sub> CN	28
6	1.5	-	CH <sub>3</sub> CN	NR
7	1.5	Cs <sub>2</sub> CO <sub>3</sub> (1.5 equiv.)	CH <sub>3</sub> CN	84
8	1.5	Cs <sub>2</sub> CO <sub>3</sub> (1.0 equiv.)	CH <sub>3</sub> CN	79
9	1.5	Cs <sub>2</sub> CO <sub>3</sub> (0.5 equiv.)	CH <sub>3</sub> CN	65
10	1.5	Cs <sub>2</sub> CO <sub>3</sub>	H <sub>2</sub> O	NR.
11	1.5	Cs <sub>2</sub> CO <sub>3</sub>	MeOH	52
12	1.5	Cs <sub>2</sub> CO <sub>3</sub>	ethyl acetate	89
13	1.5	Cs <sub>2</sub> CO <sub>3</sub>	toluene	trace
14	1.5	Cs <sub>2</sub> CO <sub>3</sub>	acetone	trace
15	1.5	Cs <sub>2</sub> CO <sub>3</sub>	DMSO	90
16	1.0	Cs <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN	87
17	0.5	Cs <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN	53
18	NBS	Cs <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN	20

<sup>a</sup> The reactions were performed with **1g** (0.20 mmol), CBr<sub>4</sub> (1.5 equiv.), Base (2.0 equiv.) at room temperature under open air for 2 h, <sup>b</sup> Isolated yield. NR= no reaction.

#### 4. Scheme S1. Gram-scale synthesis and derivatization

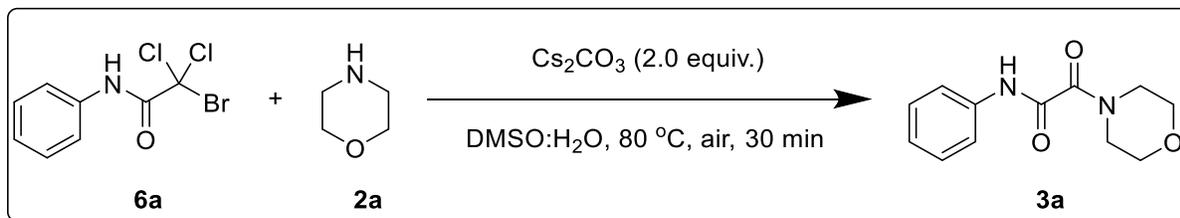


**b) Derivatization**



#### 5. Scheme S2. Mechanistic studies

**i) Identification of intermediates**



A 15 mL reaction tube was charged with (**6a**) (0.2 mmol, 1.0 equiv.), morpholin (**2a**), (0.4 mmol, 2.0 equiv.), CS<sub>2</sub>CO<sub>3</sub> (0.4 mmol, 2.0 equiv.) with DMSO: H<sub>2</sub>O (1:0.2) (2 mL). The resulting mixture was stirred at 80 °C in the open-air atmosphere for about 30 minutes. Then 0.5 mL of the reaction

solution was added into the test bottle and diluted with 0.5 mL of MeOH. The samples were immediately monitored by LCMS to determine the intermediates I & II.

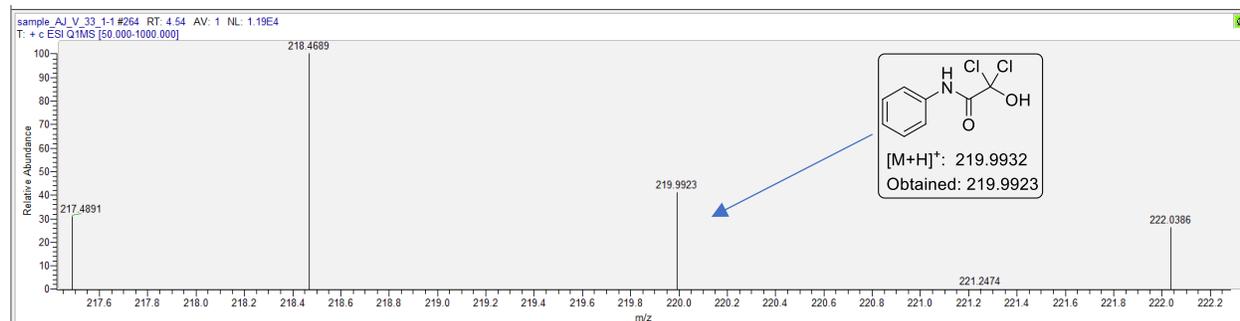


Figure S1. Intermediate I

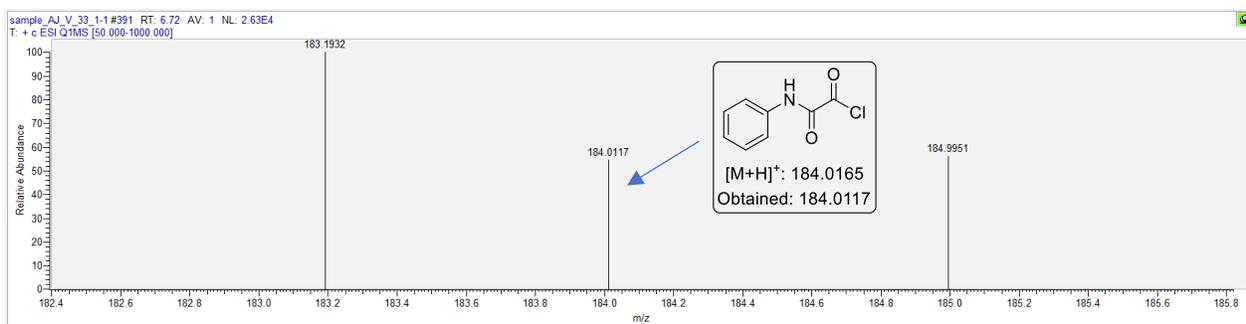


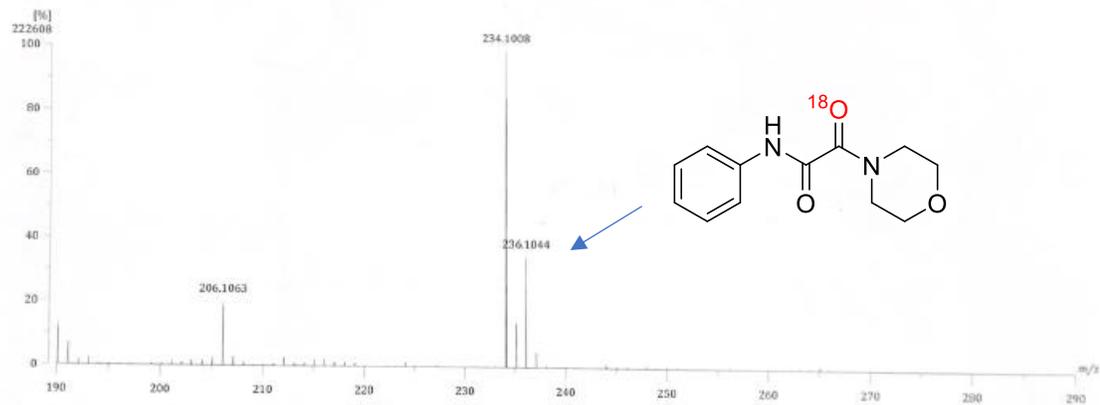
Figure S2. Intermediate II

## ii) <sup>18</sup>O isotope labeling experiments

The <sup>18</sup>O labeling experiment was conducted with **1a** and **2a** under the standard conditions with H<sub>2</sub><sup>18</sup>O and the HRMS of the isolated product **3a** indicated that the oxygen atoms in carbonyl originated from H<sub>2</sub>O.

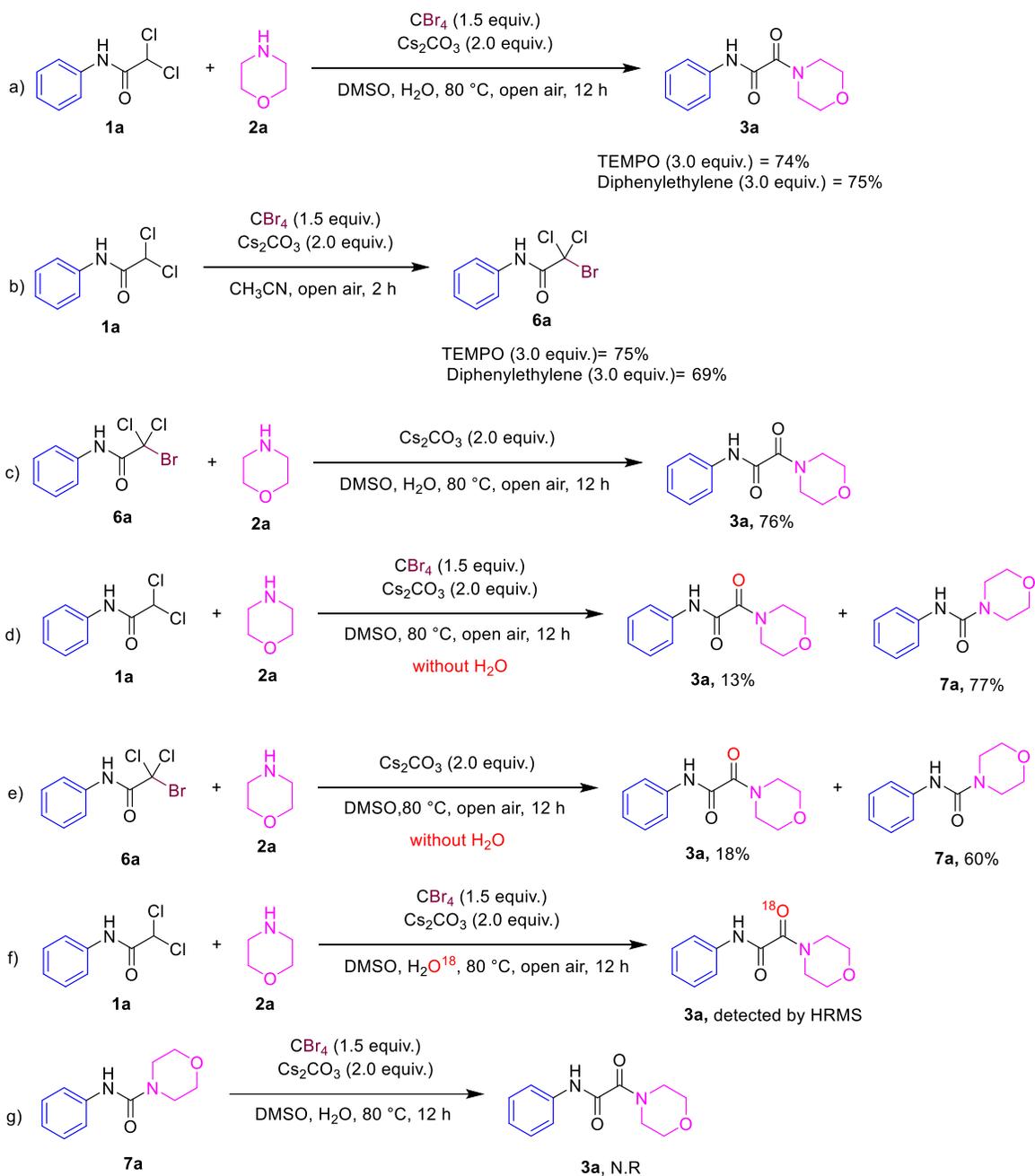
AJ-V-43-WYL (HR-ELI)

[Mass Spectrum]  
Data: 20231225\_AJ-V-43-WYL-HR-002 Date: 25-Dec-2023 15:47  
Sample: AJ-V-43-WYL  
Note: 70eV  
Ion Mode: EI+  
RT: 0.43 min Scan#: 6  
Elements: C 12/12, H 1000/0, N 2/2, 16O 3/1, 18O 3/0  
Mass Tolerance: 10mmu  
Unsaturation (U.S.): -0.5 - 10000.0

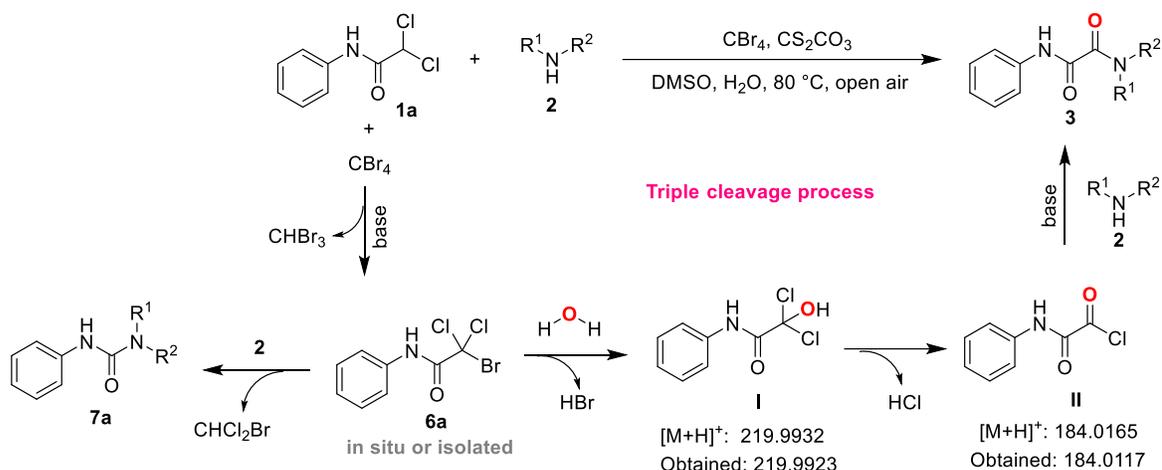


Observed m/z	Int%							
234.1008	100.00							
Estimated m/z	Err [ppm / mmu]	U.S.	C	H	N	16O	18O	
1 234.1004	+1.5 / +0.4	7.0	12	14	2	3	-	
Observed m/z	Int%							
236.1044	35.09							
Estimated m/z	Err [ppm / mmu]	U.S.	C	H	N	16O	18O	
2 236.1047	-1.2 / -0.3	7.0	12	14	2	2	1	

## 6. Scheme S3. Control experiments

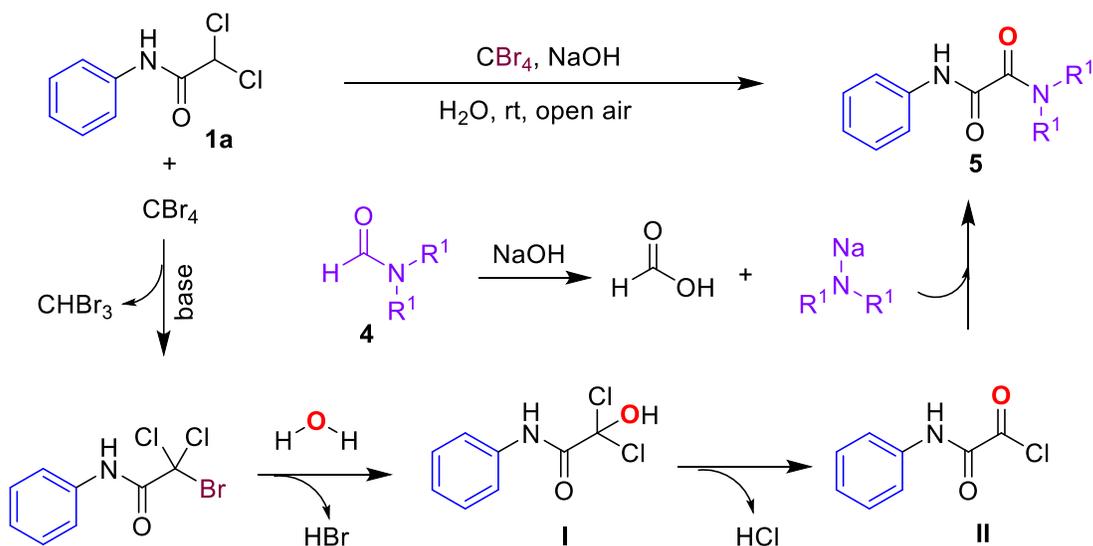


## 7. Scheme S4. Possible reaction mechanism for amine

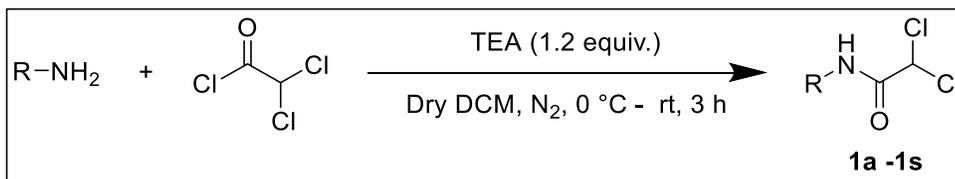


2,2-dichloro-*N*-phenylacetamide (**1a**) undergoes electrophilic bromination upon reaction with  $\text{CBr}_4$  under basic conditions, leading to the formation of intermediate **6a**. Subsequently, the bromodichloroacetamide **6a** undergoes hydrolysis to generate intermediate **I**, which is further converted into intermediate **II** through the elimination of  $\text{HCl}$ . Finally, the reactive intermediate **II** with an amine in the presence of a base yields an oxalamide product (**3a**).

## 8. Scheme S4. Possible reaction Mechanism for formamide

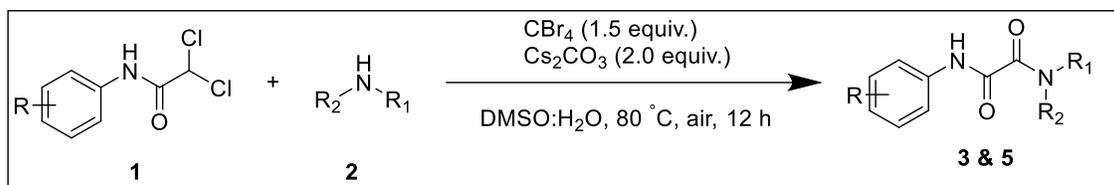


## 9. Synthesis of starting materials (1a-s):<sup>1</sup>



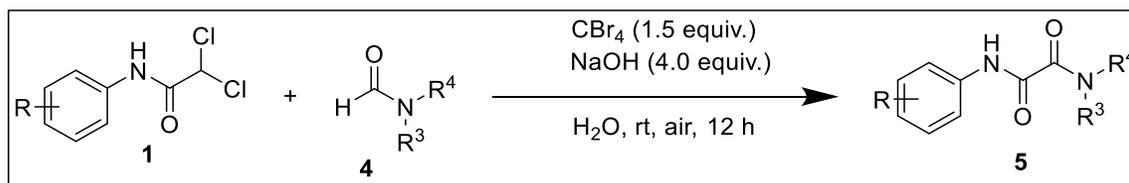
All the starting materials (**1a-s**) were synthesized on 3.0 mmol scale, according to the literature procedure, and obtained in 20% - 93% yield, unless otherwise noted. The <sup>1</sup>H-NMR spectra of known starting materials were matched with previous literature and the unknown starting materials were characterized.

## 10. Experimental procedure 2-morpholino-2-oxo derivatives (**3a-3p**), & amine derivatives (**5a-5j**):



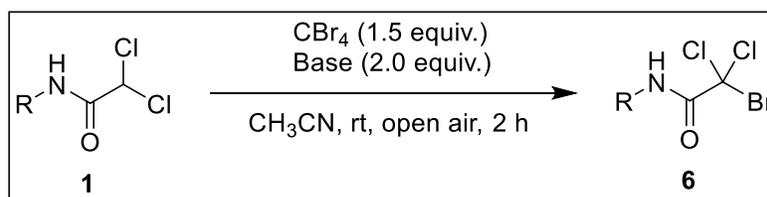
A 15 mL reaction tube was charged with (**1a-s**) (0.2 mmol, 1.0 equiv.), CBr<sub>4</sub> (0.4 mmol, 1.5 equiv.), Cs<sub>2</sub>CO<sub>3</sub> (0.4 mmol, 2.0 equiv.), amine (0.4 mmol, 2.0 equiv.), with DMSO: H<sub>2</sub>O (1:0.2) (2 mL). The resulting mixture was stirred at 80 °C in the open-air atmosphere for about 12 h. After the completion of the reaction, reaction mixture was diluted with 5 mL of water. The aqueous layer was extracted with Ethyl acetate (3 × 10 mL), and the combined organic layer was washed with brine solution (1 × 5 mL). The final organic layer was then dried over MgSO<sub>4</sub> and concentrated under reduced pressure to get the crude product. The obtained crude product was purified using column chromatography by eluting with ethyl acetate/hexane (3:7) to afford pure 2-morpholino-2-oxo derivatives (**3a-p**) up to 29-91% yields and pure amine derivatives (**5a-5j**) up to 55-81% yields.

### 11. Experimental procedure formamide derivatives (5a, 5k – 5p):



A 15 mL reaction tube was charged with (**1a**, **1b**, **1d**, **1f**, **1g**) (0.2 mmol, 1.0 equiv.), CBr<sub>4</sub> (0.3 mmol, 1.5 equiv.), NaOH (0.8 mmol, 4.0 equiv.), formamides (1 mL) with H<sub>2</sub>O (500 μL). The resulting mixture was stirred at 25-30 °C in the open-air atmosphere for about 12 h. After the completion of the reaction, reaction mixture was diluted with 5 mL of water. The aqueous layer was extracted with Ethyl acetate (3 × 10 mL), and the combined organic layer was washed with brine solution (1 × 5 mL). The final organic layer was then dried over MgSO<sub>4</sub> and concentrated under reduced pressure to get the crude product. The obtained crude product was purified using column chromatography by eluting with ethyl acetate/hexane (3:7) to afford pure oxalamide derivatives (**5a**, **5k-5p**) up to 41-88% yields

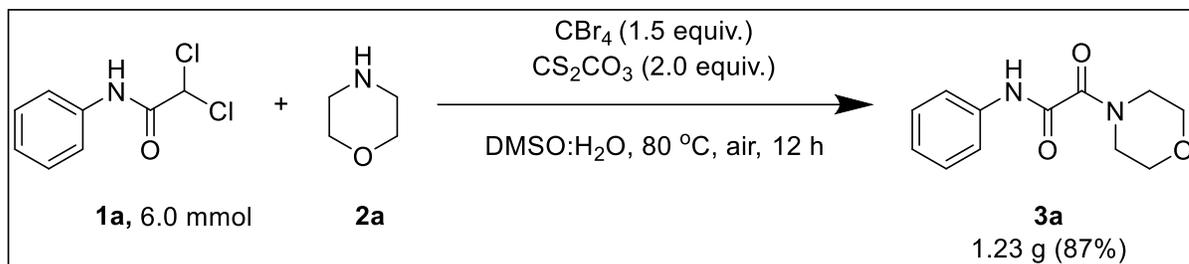
### 12. Experimental procedure for bromodichloro-N-methyl derivatives (6a-r):



A 15 mL reaction tube was charged with (**1a-r**) (0.2 mmol, 1.0 equiv.), CBr<sub>4</sub> (0.3 mmol, 1.5 equiv.), CS<sub>2</sub>CO<sub>3</sub> (0.4 mmol, 2.0 equiv.) with CH<sub>3</sub>CN (2 mL). The resulting mixture was stirred at 25-30 °C in the open-air atmosphere for about 2 h. After the completion of the reaction, reaction mixture was diluted with 5 mL of water. The aqueous layer was extracted with Ethyl acetate (3 × 10 mL), and the combined organic layer was washed with brine solution (1 × 5 mL). The final organic layer was then dried over MgSO<sub>4</sub> and concentrated under reduced pressure to get the crude product. The obtained crude product was purified using column chromatography by eluting with ethyl acetate/hexane (1:9) to afford pure 2-bromo-2,2-dichloro-N-methyl derivatives (**6a-r**) up to 18-98% yields.

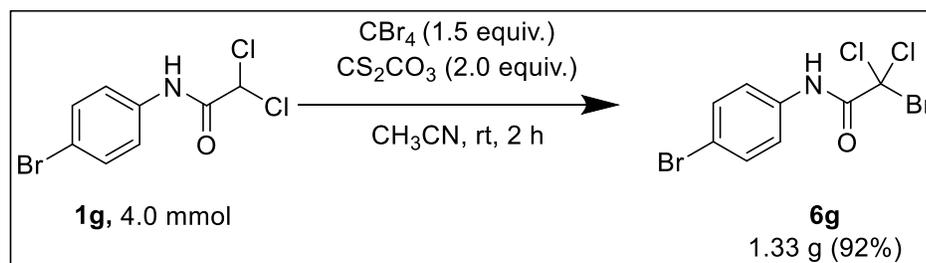
### 13. General procedure for Gram-scale synthesis

#### i) Experimental Procedure for Gram-Scale Synthesis of 2-morpholino-2-oxo-*N*-phenylacetamide (**3a**):



A 100 mL round-bottom flask was charged with (**1a**) (6.0 mmol, 1.0 equiv.), CBr<sub>4</sub> (9 mmol, 1.5 equiv.), CS<sub>2</sub>CO<sub>3</sub> (12 mmol, 2.0 equiv.) with DMSO: H<sub>2</sub>O (1:0.2) (60 mL). The resulting mixture was stirred at 80 °C in the open-air atmosphere for about 12 h. After the completion of the reaction, the reaction mixture was diluted with 100 mL of water. The aqueous layer was extracted with Ethyl acetate (3 × 100 mL), and the combined organic layer was washed with brine solution (1 × 100 mL). The final organic layer was then dried over MgSO<sub>4</sub> and concentrated under reduced pressure to get the crude product. The obtained crude product was purified using column chromatography by eluting with ethyl acetate/hexane (3:7) to afford pure 2-morpholino-2-oxo-*N*-phenylacetamide (**3a**) 87% yields.

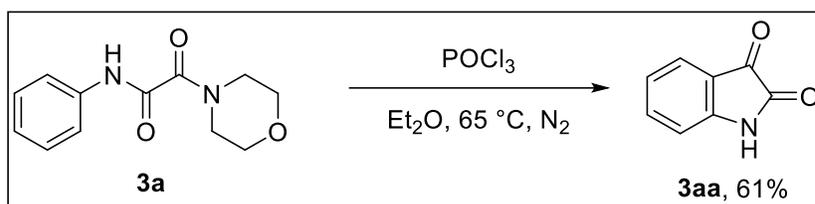
#### ii) Experimental Procedure for Gram-Scale Synthesis of 2-bromo-*N*-(4-bromophenyl)-2,2-dichloroacetamide (**6g**):



A 100 mL round-bottom flask was charged with (**1a**) (4 mmol, 1.0 equiv.), CBr<sub>4</sub> (6 mmol, 1.5 equiv.), CS<sub>2</sub>CO<sub>3</sub> (8 mmol, 2.0 equiv.) with CH<sub>3</sub>CN (40 mL). The resulting mixture was stirred at 25-30 °C in the open-air atmosphere for about 2 h. After the completion of the reaction, the reaction mixture was diluted with 100 mL of water. The aqueous layer was extracted with Ethyl acetate

(3 × 100 mL), and the combined organic layer was washed with brine solution (1 × 100 mL). The final organic layer was then dried over MgSO<sub>4</sub> and concentrated under reduced pressure to get the crude product. The obtained crude product was purified using column chromatography by eluting with ethyl acetate/hexane (1:9) to afford pure 2-bromo-2,2-dichloro-*N*-methyl derivatives (**6g**) 92% yield.

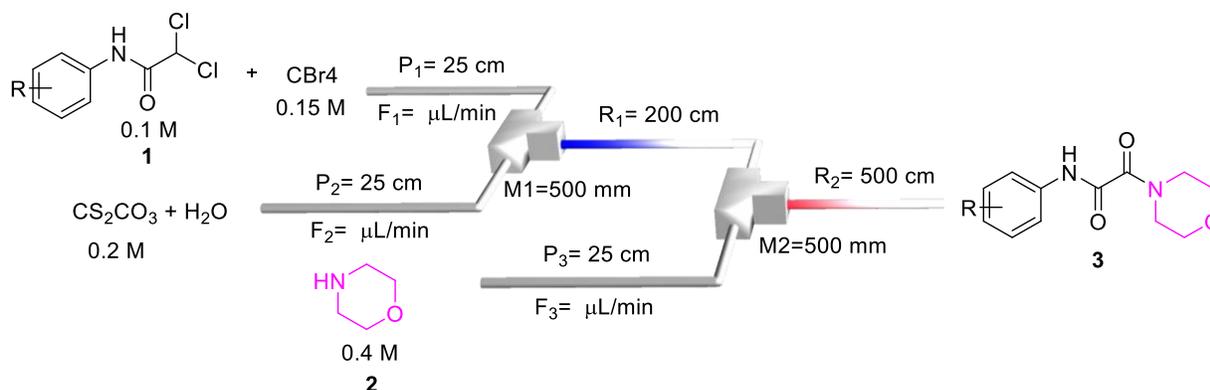
#### 14. Experimental procedure indoline-2,3-dione derivatives (**3aa**): <sup>2</sup>



A mixture of 2-morpholino-2-oxo-*N*-phenylacetamide **3a** (46.85 mg, 0.2 mmol), POCl<sub>3</sub> (5.0 ml) was stirred at room temperature for 5 min. Then, transfer the reaction liquid to 65 °C and stir overnight under argon. After disappearance of the reactant (monitored by TLC), the reaction liquid was poured into 20 ml ice water and extracted with ethyl acetate three times (3 × 25 mL). The organic layer was washed with water and saturated brine, dried over MgSO<sub>4</sub> and evaporation. The residue was purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate) to afford the pure *N*<sup>1</sup>, *N*<sup>1</sup>-dimethyl-*N*<sup>2</sup>-phenyloxalamide derivatives (**3aa**) as an orange solid.

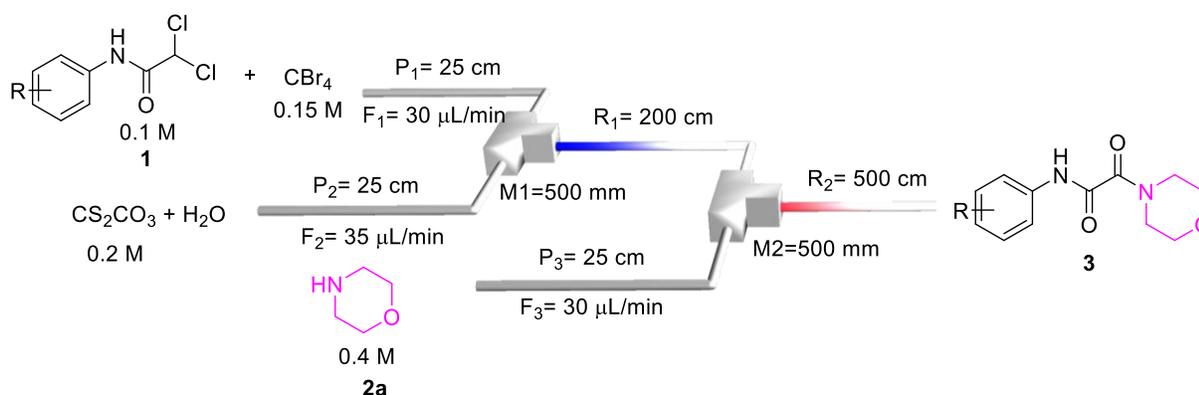
#### 15. Scheme S6. Triple cleavage of CCl<sub>2</sub>Br in Continuous-flow method

##### i) Optimization for the reaction conditions



Entry	Flow rate (F1:F2: F3) $\mu\text{L min}^{-1}$	Temperature (T1 :X °C)	Conversion yield (%)	Reaction time (min)
1	(20:20:20)	80	85	42
2	(20:25:20)	80	90	40
3	(30:35:30)	80	85	30
4	(40:45:40)	80	75	20
5	(30:35:30)	70	85	30
6	(30:35:30)	60	84	30
7	(30:35:30)	50	70	30

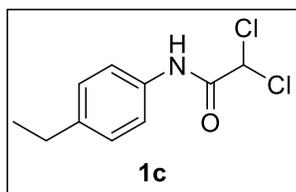
## ii) General procedure



A microreactor system consist of two T-shaped micromixers (M1 and M2), two tubing reactors (R1 and R2) and three reagents delivering units P1 (inner diameter = 800  $\mu\text{m}$ , length L = 25 cm), P2 (inner diameter = 800  $\mu\text{m}$ , length L= 25 cm) and, P3 (inner diameter = 800  $\mu\text{m}$ , length L= 25 cm) were used. A solution of **1** (0.1 M in DMSO) and  $\text{CBr}_4$  (0.15 M in DMSO) and a solution of  $\text{Cs}_2\text{CO}_3$  (0.2 M in  $\text{H}_2\text{O}$ ) was introduced to M1 (M1,  $\Phi = 500 \mu\text{m}$ ) by syringe pumps. The resulting solution was passed through R1 ( $\Phi = 0.8 \text{ mm}$ , L= 200 cm) and was mixed with a solution of morpholine **2a** (0.4 M in DMSO) (flow rate: 30  $\mu\text{L/min}$ ) at M2 ( $\Phi = 500 \mu\text{m}$ ). The resulting solution was passed through R2 ( $\Phi = 0.8 \text{ mm}$ , L= 500 cm) at 80 °C. After a steady state was reached (after 1 min), the final product solution was collected for 15 minutes in vial. The reaction mixture was analyzed by GC with 85% conversion, the solution was extracted with ethyl acetate. The organic phase was dried with  $\text{MgSO}_4$ , and the solvent was removed by vacuum. The crude product was purified by column chromatography (Hexane/EtOAc,7/3, silica gel) and obtained **3a**, **3b**, and **3f** (84%- 91%).

## 16. Spectral characterization:

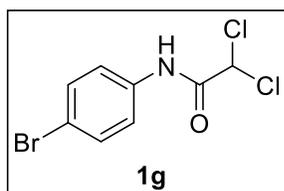
**2,2-dichloro-*N*-(4-ethyl phenyl) acetamide (1c):** The title compound was synthesized according



to the general procedure and obtained as white solid (633.6 mg, 91%); mp. 148-150 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.15 (s, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 6.04 (s, 1H), 2.64 (q, *J* = 8.0 Hz, 2H), 1.23 (t, *J* = 8.0 Hz, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.33, 142.53,

134.41, 129.15, 120.99, 67.50, 28.95, 16.18; HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>10</sub>H<sub>12</sub>Cl<sub>2</sub>NO 232.0296; Found 232.0285.

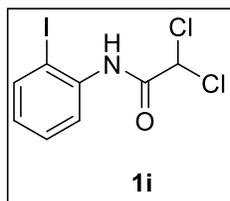
***N*-(4-bromophenyl)-2,2-dichloroacetamide (1g):** The title compound was synthesized according



to the general procedure and obtained as white solid (704.5 mg, 83%); mp. 160-162 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.14 (s, 1H), 7.47 (q, *J* = 8.0 Hz, 4H), 6.04 (s, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.09, 135.64, 132.60, 122.12, 118.92, 67.06; HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>8</sub>H<sub>6</sub>BrCl<sub>2</sub>NO

280.9010; Found 280.9005

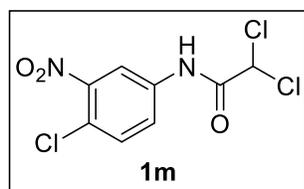
**2,2-dichloro-*N*-(2-iodophenyl)acetamide (1i):** The title compound was synthesized according to



the general procedure and obtained as white solid (781.9mg, 79%); mp. 165-167 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.63 (s, 1H), 8.19 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.83 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.41-7.37 (m, 1H), 6.95-6.91 (m, 1H), 6.61 (s, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.09, 139.27, 136.91, 129.57,

127.30, 121.91, 90.30, 67.14; HRMS (HR-ESI) *m/z*: [M+Na]<sup>+</sup> calcd for C<sub>8</sub>H<sub>6</sub>Cl<sub>2</sub>INONa 351.8769; Found 351.8761

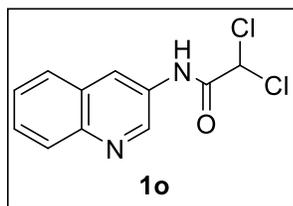
**2,2-dichloro-*N*-(4-chloro-3-nitrophenyl) acetamide (1m):** The title compound was synthesized



according to the general procedure and obtained as yellow solid (289.1 mg, 34%); mp. 134-136 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.31 (s, 1H), 8.22 (d, *J* = 4.0 Hz, 1H), 7.75 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 6.04 (s, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.56, 136.28, 132.94,

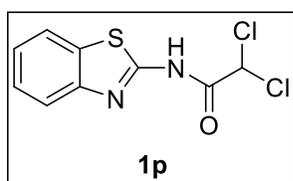
124.76, 123.65, 117.42, 66.82; HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>8</sub>H<sub>5</sub>Cl<sub>3</sub>N<sub>2</sub>O<sub>3</sub> 281.9366; Found 281.9366.

**2,2-dichloro-*N*-(quinolin-3-yl) acetamide (1o):** The title compound was synthesized according to



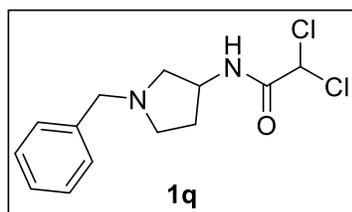
the general procedure and obtained as a pale-yellow solid (344.4 mg, 45%); mp. 163-165 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 11.10 (s, 1H), 8.87 (d, *J* = 4.0 Hz, 1H), 8.66 (d, *J* = 4.0 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 2H), 7.67-7.63 (m, 1H), 7.58-7.54 (m, 1H), 6.66 (s, 1H); <sup>13</sup>C-NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 163.01, 145.19, 144.89, 131.79, 129.07, 129.03, 128.41, 127.96, 127.78, 124.18, 67.53. HRMS (HR-ESI) *m/z*: [M+H]<sup>+</sup> calcd for C<sub>11</sub>H<sub>9</sub>Cl<sub>2</sub>N<sub>2</sub>O 255.0092; Found 255.0081.

***N*-(benzo[d]thiazol-2-yl)-2,2-dichloroacetamide (1p):** The title compound was synthesized



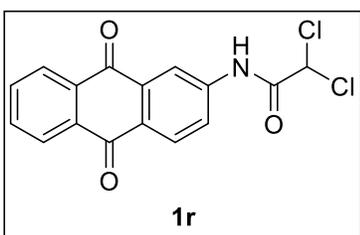
according to the general procedure and obtained as yellow solid (642.4 mg, 82%); mp. 203-205 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 7.87-7.82 (m, 2H), 7.49 (td, *J* = 8.0 Hz, 4.0Hz, 1H), 7.38(td, *J* = 8.0 Hz, 1.6Hz, 1H), 6.19 (s, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 163.05, 157.87, 147.86, 132.42, 127.12, 125.16, 122.01, 121.46, 65.98. HRMS (HR-ESI) *m/z*: [M+H]<sup>+</sup> calcd for C<sub>9</sub>H<sub>7</sub>Cl<sub>2</sub>N<sub>2</sub>OS 260.9656; Found 260.9649.

***N*-(1-benzylpyrrolidin-3-yl)-2,2-dichloroacetamide (1q):** The title compound was synthesized



according to the general procedure and obtained as brown semi solid (215.3 mg, 25%); <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 7.27 (s, 5H), 5.86 (s, 1H), 4.44-4.37 (m, 1H), 3.64 (s, 2H), 2.98-2.94 (m, 1H), 2.71 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 2.58 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 2.36-2.23 (m, 12H), 1.75-1.66 (m, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 163.64, 137.16, 129.07, 128.64, 127.75, 66.54, 59.79, 59.65, 52.42, 49.52, 31.92; HRMS (HR-ESI) *m/z*: [M+H]<sup>+</sup> calcd for C<sub>13</sub>H<sub>17</sub>Cl<sub>2</sub>N<sub>2</sub>O 287.0718; Found 287.0710.

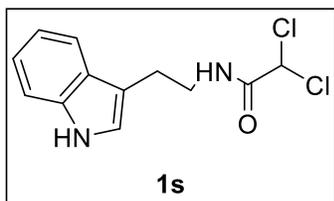
**2,2-dichloro-*N*-(9,10-dioxo-9,10-dihydroanthracen-2-yl)acetamide (1r):** The title compound



was synthesized according to the general procedure and obtained as brown solid (200.5 mg, 20%); mp. 268-270 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 10.28 (s, 1H), 8.90 (s, 1H), 8.19-8.14 (m, 3H), 7.92-7.87 (m, 2H), 7.65 (s, 1H), 7.06 (s, 1H); <sup>13</sup>C-NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 182.24, 181.69, 163.08, 153.43, 134.83, 134.53, 133.64, 133.47,

131.28, 131.08, 127.08, 126.96, 126.12, 119.54, 112.30, 67.07. HRMS (HR-EI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_8H_6BrCl_2NONa$  355.9857; Found 355.9849.

***N*-(2-(1*H*-indol-3-yl) ethyl)-2,2-dichloroacetamide (1s):** The title compound was synthesized

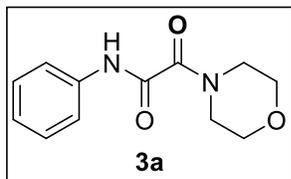


according to the general procedure and obtained as white solid (756.5 mg, 93%); mp. 109-111 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  8.44 (s, 1H), 7.77 (d,  $J = 8.0$  Hz, 1H), 7.55 (d,  $J = 8.0$  Hz, 1H) 7.40 (t,  $J = 8.0$  Hz, 1H), 7.32 (d,  $J = 16.0$  Hz, 1H), 7.21-7.20 (m, 1H), 6.86 (s, 1H),

6.04 (s, 1H), 3.81 (dd,  $J = 12.0$  Hz, 4.0 Hz, 2H), 3.21 (t,  $J = 8.0$  Hz, 2H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  164.09, 136.38, 126.99, 122.34, 122.25, 119.52, 118.53, 111.97, 111.37, 66.46, 40.51, 24.76.

HRMS (HR-ESI)  $m/z$ :  $[M+H]^+$  calcd for  $C_{12}H_{13}Cl_2N_2O$  271.0405; Found 271.0398.

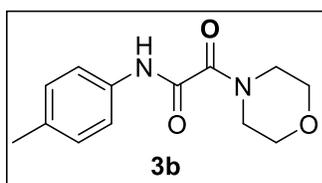
**2-morpholino-2-oxo-*N*-phenylacetamide (3a):**<sup>3</sup> The title compound was synthesized



according to the general procedure and obtained as white solid (39.8 mg, 85%);  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.49 (s, 1H), 7.58 (d,  $J = 8.0$  Hz, 2H), 7.30 (t,  $J = 8.0$  Hz, 2H), 7.11 (t,  $J = 8.0$  Hz, 1H), 4.17 (t,  $J = 4.0$  Hz, 2H), 3.71-3.65 (m, 6H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  160.59, 158.52,

136.80, 128.98, 125.08, 119.98, 67.05, 66.60, 47.17, 43.72.

**2-morpholino-2-oxo-*N*-(*p*-tolyl)acetamide (3b):**<sup>4</sup> The title compound was synthesized according

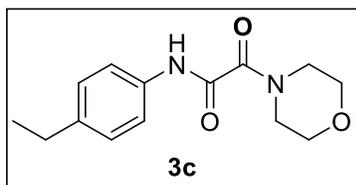


to the general procedure and obtained as white solid (41.7 mg, 84%);

$^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.20 (s, 1H), 7.47 (d,  $J = 8.0$  Hz, 2H), 7.15 (d,  $J = 8.0$  Hz, 2H), 4.30 (t,  $J = 8.0$  Hz, 2H), 3.78-3.71 (m, 6H), 2.33 (s, 3H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  160.41, 158.19, 135.07, 134.26,

129.75, 119.98, 67.35, 66.90, 47.42, 44.14, 21.06.

***N*-(4-ethylphenyl)-2-morpholino-2-oxoacetamide (3c):** The title compound was synthesized



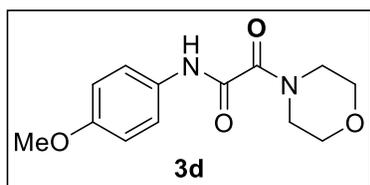
according to the general procedure and obtained as white solid

(45.6 mg, 87%); mp. 112-114 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.19 (s, 1H), 7.50 (d,  $J = 4.0$  Hz, 2H), 7.19 (d,  $J = 4.0$  Hz, 2H), 4.32 (t,  $J = 4.0$  Hz, 2H), 3.79-3.71 (m, 6H), 2.64 (dd,  $J = 12.0$  Hz, 4.0 Hz, 2H), 1.23

(t,  $J = 8.0$  Hz, 3H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  160.40, 158.19, 141.53, 134.42, 128.59, 120.08,

67.36, 66.92, 47.44, 44.16, 29.49, 15.71. HRMS (HR-ESI)  $m/z$ :  $[M+H]^+$  calcd for  $C_{14}H_{19}N_2O_3$  263.1395; Found 263.1389.

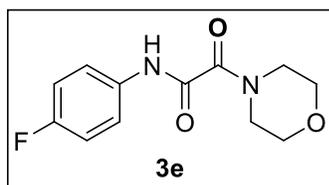
***N*-(4-methoxyphenyl)-2-morpholino-2-oxoacetamide (3d):**<sup>4</sup> The title compound was



synthesized according to the general procedure and obtained as white solid (48.1 mg, 91%);  $^1H$ -NMR (400 Hz,  $DMSO-d_6$ )  $\delta$  9.19 (s, 1H), 7.50 (d,  $J = 8.0$  Hz, 2H), 6.88 (d,  $J = 8.0$  Hz, 2H), 4.30 (t,  $J = 4.0$  Hz, 2H), 3.79 (s, 3H), 3.76-3.71 (m, 6H);  $^{13}C$ -NMR (100 MHz,

$CDCl_3$ )  $\delta$  160.80, 158.42, 157.42, 130.27, 121.94, 114.70, 67.66, 67.21, 55.91, 47.73, 44.43.

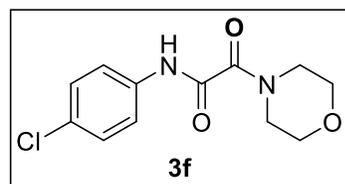
***N*-(4-fluorophenyl)-2-morpholino-2-oxoacetamide (3e):** The title compound was synthesized



according to the general procedure and obtained as white solid (42.3 mg, 84%); mp. 132-134 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.30 (s, 1H), 7.56 (dq,  $J = 8.0$  Hz, 4.0 Hz, 2H), 7.07-7.00 (m, 2H), 4.28 (t,  $J = 4.0$  Hz, 2H), 3.77-3.69 (m, 6H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  161.0 (d,  $J_{C-F} =$

243.3 Hz), 160.0, 158.1, 132.7, 121.6, 115.9 (d,  $J_{C-F} = 22.4$  Hz), 67.1, 66.7, 47.2, 44.0;  $^{19}F\{^1H\}$  NMR (376MHz,  $CDCl_3$ )  $\delta$  -116.4; HRMS (HR-EI)  $m/z$ :  $[M^+]$   $C_{12}H_{13}FN_2O_3$  calcd for 252.0910; Found 252.0916.

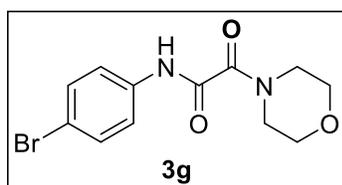
***N*-(4-chlorophenyl)-2-morpholino-2-oxoacetamide (3f):** The title compound was synthesized



according to the general procedure and obtained as white solid (41.9 mg, 78%); mp. 136-138 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.29 (s, 1H), 7.55 (td,  $J = 8.0$  Hz, 4.0 Hz, 2H), 7.32 (td,  $J = 8.0$  Hz, 4.0 Hz, 2H), 4.31 (t,  $J = 8.0$  Hz, 2H), 3.77-3.72 (m, 6H);  $^{13}C$ -NMR (100 MHz,

$CDCl_3$ )  $\delta$  160.40, 158.68, 135.84, 130.88, 129.78, 121.63, 67.77, 67.34, 47.88, 44.70. HRMS (HR-EI)  $m/z$ :  $[M^+]$  calcd for  $C_{12}H_{13}ClN_2O_3$  268.0615; Found 268.0611.

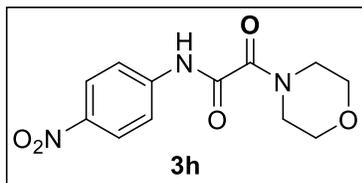
***N*-(4-bromophenyl)-2-morpholino-2-oxoacetamide (3g):**<sup>5</sup> The title compound was synthesized



according to the general procedure and obtained as white solid (49.5 mg, 79%);  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.31 (s, 1H), 7.52-7.46

(m, 4H), 4.30 (t,  $J = 4.0$  Hz, 2H), 3.78-3.72 (m, 6H);  $^{13}\text{C}$ -NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  160.40, 158.69, 136.34, 132.72, 121.94, 118.53, 67.76, 67.33, 47.87, 44.68.

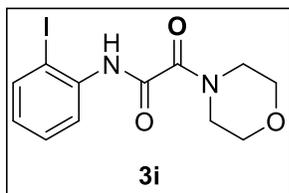
**2-morpholino-*N*-(4-nitrophenyl)-2-oxoacetamide (3h):**<sup>6</sup> The title compound was synthesized



according to the general procedure and obtained as pale yellow solid (19.5 mg, 35%); mp. 201-203 °C;  $^1\text{H}$ -NMR (600 Hz,  $\text{DMSO-}d_6$ )  $\delta$  11.40 (s, 1H), 8.26 (d,  $J = 18.0$  Hz, 2H), 7.91 (d,  $J = 18.0$  Hz, 2H), 3.66 (t,  $J = 6.0$  Hz, 2H), 3.62 (t,  $J = 6.0$  Hz, 2H), 3.58-3.52 (m, 4H);

$^{13}\text{C}$ -NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  159.03, 158.22, 144.24, 142.21, 125.08, 119.31, 67.10, 66.70, 47.29, 44.26.

***N*-(2-iodophenyl)-2-morpholino-2-oxoacetamide (3i):** The title compound was synthesized

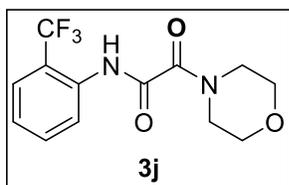


according to the general procedure and obtained as brown solid (28.8 mg, 40%); mp. 125-127 °C;  $^1\text{H}$ -NMR (400 Hz,  $\text{DMSO-}d_6$ )  $\delta$  8.19 (s, 1H), 7.84 (d,  $J = 8.0$  Hz, 1H), 7.40-7.32 (m, 2H), 6.95-6.91 (m, 1H), 3.63 (t,  $J = 8.0$  Hz, 4H), 3.43 (t,  $J = 8.0$  Hz, 4H);  $^{13}\text{C}$ -NMR (100 MHz,  $\text{DMSO-}d_6$ )  $\delta$  155.24,

140.89, 138.59, 128.55, 127.34, 126.82, 98.25, 66.02, 54.88, 44.30. MASS HRMS (ESI)  $m/z$ : [M+H]

<sup>+</sup> calcd for  $\text{C}_{13}\text{H}_{14}\text{F}_3\text{N}_2\text{O}_3$  361.0049; Found 361.0062

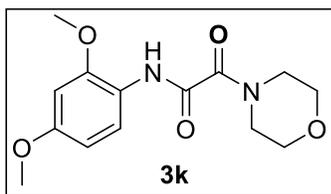
**2-morpholino-2-oxo-*N*-(4-(trifluoromethyl)phenyl)acetamide (3j):** The title compound was



synthesized according to the general procedure and obtained as white solid (28.4mg, 47%); mp. 152-154 °C;  $^1\text{H}$ -NMR (400 Hz,  $\text{DMSO-}d_6$ )  $\delta$  7.58 (s, 1H), 7.47 (t,  $J = 8.0$  Hz, 1H), 7.11 (t,  $J = 8.0$  Hz, 1H), 6.97 (d,  $J = 4.0$  Hz, 1H), 3.62-3.33 (m, 8H);  $^{13}\text{C}$ -NMR (100 MHz,  $\text{DMSO-}d_6$ )  $\delta$  161.4, 153.3,

147.3, 133.4, 126.7 (d,  $J_{\text{C-F}} = 38.9$  Hz), 126.6, 122.8 (d,  $J_{\text{C-F}} = 75.3$  Hz), 122.1 (d,  $J_{\text{C-F}} = 217.9$  Hz), 119.8, 66.3, 66.0, 46.0, 41.3;  $^{19}\text{F}$ {1H} NMR (376MHz,  $\text{CDCl}_3$ )  $\delta$  -59.5; MASS HRMS (ESI)  $m/z$ : [M-H] calcd for  $\text{C}_{13}\text{H}_{12}\text{F}_3\text{N}_2\text{O}_3$  301.0800; Found 301.0500.

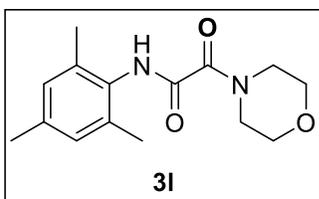
***N*-(2,4-dimethoxyphenyl)-2-morpholino-2-oxoacetamide (3k):**<sup>7</sup> The title compound was



synthesized according to the general procedure and obtained as white solid (51.2mg, 87%); <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 9.45 (s, 1H), 8.18 (d, *J* = 4.0 Hz, 1H), 6.47-6.43 (m, 2H), 4.25 (t, *J* = 8.0 Hz, 2H), 3.84 (s, 3H), 3.77 (s, 3H), 3.74-3.69 (m, 6H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>)

δ 160.68, 157.86, 157.42, 150.11, 120.52, 120.15, 103.82, 98.83, 67.36, 66.91, 55.87, 55.65, 47.33, 43.97.

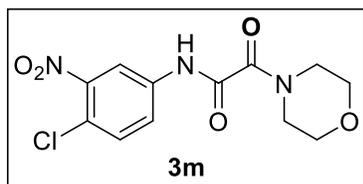
***N*-mesityl-2-morpholino-2-oxoacetamide (3l):** The title compound was synthesized according to



the general procedure and obtained as white solid (17.6 mg, 32%); mp. 166-168 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.57 (s, 1H), 6.91 (s, 2H), 4.24 (t, *J* = 8.0 Hz, 2H), 3.80-3.71 (m, 6H), 2.27 (s, 3H), 2.19 (s, 6H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 160.62, 159.31, 137.60, 134.4, 129.96, 129.15,

67.35, 66.89, 47.31, 43.66, 21.06, 18.44. HRMS (EI) *m/z*: [M+H<sup>+</sup>] calcd for C<sub>15</sub>H<sub>21</sub>N<sub>2</sub>O<sub>3</sub> 277.1552; Found 277.1540.

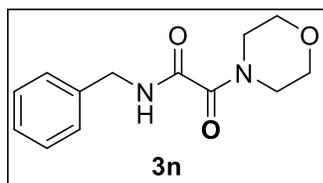
***N*-(4-chloro-3-nitrophenyl)-2-morpholino-2-oxoacetamide (3m):** The title compound was



synthesized according to the general procedure and obtained as white solid (18.1 mg, 29%); mp. 184-186 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 11.36 (s, 1H), 8.45 (d, *J* = 8.0 Hz, 1H), 7.87 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 3.65 (t, *J* = 8.0 Hz, 2H), 3.61

(t, *J* = 8.0 Hz, 2H), 3.56 (t, *J* = 4.0 Hz, 4H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 158.94, 158.25, 148.10, 136.23, 132.27, 123.78, 122.47, 116.43, 67.12, 66.72, 47.31, 44.30. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>12</sub>H<sub>12</sub>ClN<sub>3</sub>O<sub>5</sub> 313.0465; Found 313.0464.

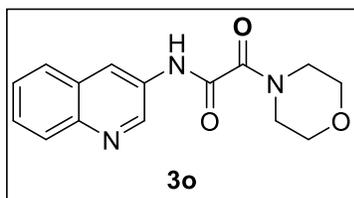
***N*-benzyl-2-morpholino-2-oxoacetamide (3n):** The title compound was synthesized according to



the general procedure and obtained as yellow semi solid (32.7 mg, 66%); <sup>1</sup>H-NMR (600 Hz, DMSO-*d*<sub>6</sub>) δ 9.22 (t, *J* = 18.0 Hz, 1H), 7.36-7.31 (m, 2H), 7.28-7.23 (m, 3H), 4.33 (d, *J* = 6.0 Hz, 2H), 3.61-3.35 (m, 4H), 3.50-3.45 (m, 4H); <sup>13</sup>C-NMR (151 MHz, DMSO-*d*<sub>6</sub>) δ 163.34, 163.11,

138.60, 128.48, 127.38, 127.11, 66.22, 66.87, 46.13, 41.77, 41.39; HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{13}H_{16}N_2O_3Na$  271.1059; Found 271.1045.

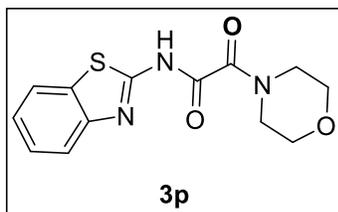
**2-morpholino-2-oxo-*N*-(quinolin-3-yl)acetamide (3o):** The title compound was synthesized



according to the general procedure and obtained as white solid (30.2 mg, 53%); mp. 157-159 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.86 (s, 1H), 8.94 (s, 1H), 8.82 (s, 1H), 8.09 (t,  $J = 8.0$  Hz, 1H), 7.82 (d,  $J = 8.0$  Hz, 1H), 7.70-7.65 (m, 1H), 7.57 (t,  $J = 8.0$  Hz, 1H), 4.30 (t,  $J = 4.0$

Hz, 2H), 3.80-3.75 (m, 6H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  159.90, 159.28, 145.19, 143.84, 130.84, 129.37, 128.94, 128.27, 128.13, 127.95, 124.88, 124.88, 67.43, 67.03, 47.59. HRMS (HR-ESI)  $m/z$ :  $[M+H]^+$  calcd for  $C_{15}H_{16}N_3O_3$  286.1191; Found 286.1192.

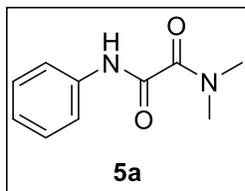
***N*-(benzo[d]thiazol-2-yl)-2-morpholino-2-oxoacetamide (3p):** The title compound was



synthesized according to the general procedure and obtained as white solid (22.1 mg, 38%); mp. 171-172 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  7.86-7.83 (m, 2H), 7.49-7.456 (m, 1H), 7.337-7.33 (m, 1H), 4.32 (t,  $J = 4.0$  Hz, 2H), 3.80-3.77 (m, 6H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  158.30, 157.78, 156.17, 148.50, 132.18, 126.49, 124.49, 121.60,

121.40, 67.08, 66.71, 47.10, 44.19. HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{13}H_{13}N_3O_3SNa$  314.0576; Found 314.0572.

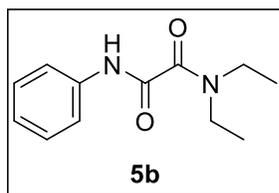
***N*<sup>1</sup>,*N*<sup>1</sup>-dimethyl-*N*<sup>2</sup>-phenyloxalamide (5a):** The title compound was synthesized according to the



general procedure and obtained as white solid (31.1 mg, 81%); mp. 97-99 °C;  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  9.26 (s, 1H), 7.60 (d,  $J = 4.0$  Hz, 2H), 7.36 (t,  $J = 8.0$  Hz, 2H), 7.18-7.14 (m, 1H), 3.51 (s, 3H), 3.09 (s, 3H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  162.06, 158.96, 137.39, 129.66, 125.57, 120.36, 39.38,

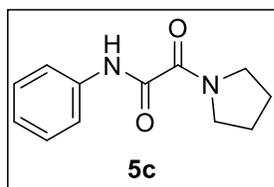
38.41. HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{10}H_{12}N_2O_2Na$  215.0797; Found 215.0798.

***N*<sup>1</sup>,*N*<sup>1</sup>-diethyl-*N*<sup>2</sup>-phenyloxalamide (5b):**<sup>5</sup> The title compound was synthesized according to



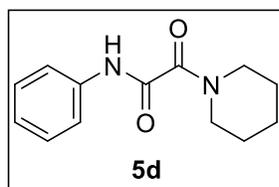
the general procedure and obtained as brown solid (28.6 mg, 65%); <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 9.40 (s, 1H), 7.61-7.58 (m, 2H), 7.36-7.31 (m, 2H), 7.15-7.11 (m, 1H), 3.83 (q, *J* = 8.0 Hz, 2H), 3.45 (q, *J* = 8.0 Hz, 2H), 1.31 (t, *J* = 4.0 Hz, 3H), 1.21 (t, *J* = 4.0 Hz, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 161.30, 158.77, 137.03, 129.04, 124.90, 119.92, 43.70, 42.76, 14.77, 12.44.

**2-oxo-*N*-phenyl-2-(pyrrolidin-1-yl) acetamide (5c):**<sup>3</sup> The title compound was synthesized



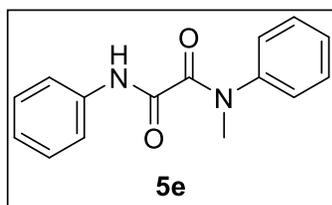
according to the general procedure and obtained as brown solid (24.0 mg, 55%); mp. 137-139 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 9.50 (s, 1H), 7.63-7.61 (m, 2H), 7.35 (t, *J* = 8.0 Hz, 2H), 7.17-7.13 (m, 1H), 4.08 (t, *J* = 8.0 Hz, 2H), 3.61 (t, *J* = 8.0 Hz, 2H), 1.99 (q, *J* = 12.0 Hz, 4.0 Hz, 2H), 1.87 (q, *J* = 12.0 Hz, 4.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.04, 158.13, 136.92, 129.11, 124.92, 119.74, 49.01, 48.38, 26.95, 23.44.

**2-oxo-*N*-phenyl-2-(piperidin-1-yl) acetamide (5d):**<sup>4</sup> The title compound was synthesized



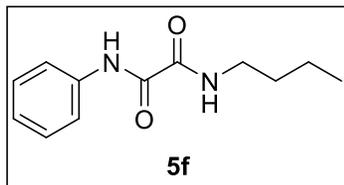
according to the general procedure and obtained as brown solid (34.3mg, 74%); mp. 165-167 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 9.20 (s, 1H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.35 (t, *J* = 8.0 Hz, 2H), 7.17-7.13 (m, 1H), 4.07 (t, *J* = 8.0 Hz, 2H), 3.64 (t, *J* = 4.0 Hz, 2H), 1.69-1.63 (m, 6H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 160.86, 159.26, 137.13, 129.20, 125.09, 120.00, 47.86, 45.01, 26.97, 25.93, 24.59.

***N*<sup>1</sup>-methyl-*N*<sup>1</sup>,*N*<sup>2</sup>-diphenyloxalamide (5e):** The title compound was synthesized according to

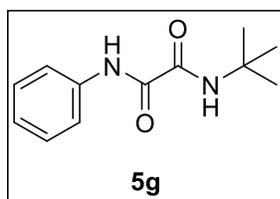


the general procedure and obtained as pale yellow semi solid (40.1mg, 79%); 9.19 (s, 1H), 7.47 (d, *J* = 4.0 Hz, 2H), 7.42-7.39 (m, 2H), 7.36-7.32 (m, 1H), 7.27 (t, *J* = 8.0 Hz, 2H), 7.23-7.21 (m, 2H), 7.10 (t, *J* = 4.0 Hz, 1H), 3.40 (s, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 164.26, 161.73, 142.06, 137.65, 129.30, 128.83, 127.65, 126.15, 124.16, 119.62, 35.91. HRMS (HR-ESI) *m/z*: [M+Na]<sup>+</sup> calcd for C<sub>15</sub>H<sub>14</sub>N<sub>2</sub>O<sub>2</sub>Na 277.0953; Found 277.0944.

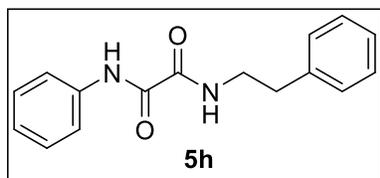
***N*<sup>1</sup>-butyl-*N*<sup>2</sup>-phenyloxalamide (5f):**<sup>8</sup> The title compound was synthesized according to the general procedure and obtained as brown sticky solid (27.3 mg, 62%); <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 8.35 (s, 1H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.19 (t, *J* = 8.0 Hz, 2H), 6.88-6.84 (m, 1H), 6.08 (t, *J* = 4.0 Hz, 1H), 3.06 (dd, *J* = 12.0 Hz, 4.0 Hz, 2H), 1.42 – 1.38 (m, 2H), 1.33-1.29 (m, 2H), 0.89 (t, *J* = 4.0 Hz, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 156.67, 152.18, 138.57, 129.38, 124.01, 121.39, 40.29, 32.25, 20.17, 13.90.



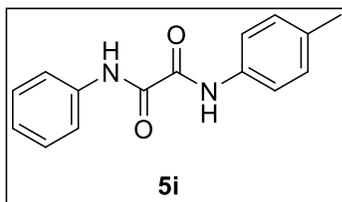
***N*<sup>1</sup>-(tert-butyl)-*N*<sup>2</sup>-phenyloxalamide (5g):**<sup>9</sup> The title compound was synthesized according to the general procedure and obtained as white semi solid (34.4mg, 78%); <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 8.20 (s, 1H), 7.33 (dd, *J* = 12.0 Hz, 4.0 Hz, 2H), 7.19 (t, *J* = 8.0 Hz, 2H), 6.88-6.84 (m, 1H), 1.28 (s, 9H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 157.10, 155.82, 139.95, 129.62, 123.41, 120.68, 51.41, 30.30.



***N*<sup>1</sup>-phenethyl-*N*<sup>2</sup>-phenyloxalamide (5h):** The title compound was synthesized according to the general procedure and obtained as white gum solid (32.7mg, 61%); <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 7.38-7.06 (m, 12H), 3.49 (t, *J* = 8.0 Hz, 2H), 2.82 (t, *J* = 8.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.27, 156.40, 139.21, 138.32, 129.66, 129.14, 128.96, 126.82, 124.62, 122.00, 41.87, 36.40. HRMS (ESI) *m/z*: [M+H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>17</sub>N<sub>2</sub>O<sub>2</sub> 261.1290; Found 261.1284.

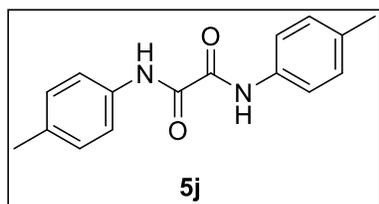


***N*<sup>1</sup>-phenyl-*N*<sup>2</sup>-(*p*-tolyl)oxalamide (5i):** The title compound was synthesized according to the general procedure and obtained as brown sticky solid (33.1 mg, 65%); <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.65 (dd, *J* = 32.0 Hz, 12.0 Hz, 1H), 8.37 (d, *J* = 4.0 Hz, 1H), 7.54 (d, *J* = 4.0 Hz, 1H), 7.43-7.39 (m, 1H), 7.36 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.23-7.20 (m, 1H), 7.18-7.07 (m, 4H), 6.98 (d, *J* = 12.0 Hz, 1H), 2.33 (m, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.44, 159.00, 130.41, 129.99, 129.94, 129.75, 129.28, 125.54, 125.01, 121.87, 120.15, 120.08,



119.45, 119.08, 20.95. HRMS (ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{15}H_{14}N_2O_2Na$  277.0953; Found 277.0964.

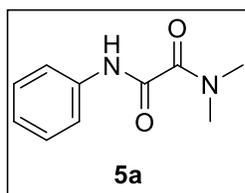
***N*<sup>1</sup>,*N*<sup>2</sup>-di-*p*-tolylloxalamide (5j):** <sup>10</sup> The title compound was synthesized according to the general



procedure and obtained as brown sticky solid (32.7mg, 61%); mp. 255-257 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 8.52 (s, 2H), 7.32 (d, *J* = 8.0 Hz, 4H), 7.07 (d, *J* = 8.0 Hz, 4H), 2.24 (s, 6H); <sup>13</sup>C-NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 153.02, 137.63, 130.85, 129.51, 118.59,

118.58, 20.70.

***N*<sup>1</sup>,*N*<sup>1</sup>-dimethyl-*N*<sup>2</sup>-phenylloxalamide (5a):** The title compound was synthesized according to the



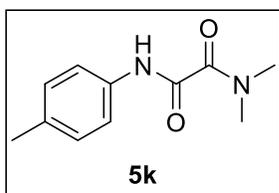
general procedure and obtained as white solid (33.8mg, 88%); mp. 93-95 °C;

<sup>1</sup>H-NMR (600 Hz, CDCl<sub>3</sub>) δ 9.26 (s, 1H), 7.61-7.59 (m, 2H), 7.35 (t, *J* = 12.0 Hz, 2H), 7.17-7.14 (m, 1H), 3.51 (s, 3H), 3.09 (s, 3H); <sup>13</sup>C-NMR (151 MHz, CDCl<sub>3</sub>) δ 161.67, 158.56, 137.00, 129.24, 125.15, 119.97, 38.97, 38.00.

HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{10}H_{12}N_2O_2Na$  215.0797; Found

215.0798.

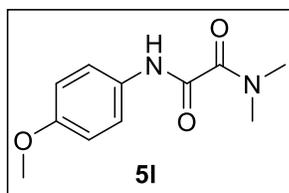
***N*<sup>1</sup>,*N*<sup>1</sup>-dimethyl-*N*<sup>2</sup>-(*p*-tolyl)oxalamide (5k):** <sup>11</sup> The title compound was synthesized according to



the general procedure and obtained as pale yellow solid (32.68 mg, 79%); <sup>1</sup>H-NMR (600 Hz, CDCl<sub>3</sub>) δ 9.18 (s, 1H), 7.53-7.50 (m, 2H), 6.90-6.88 (m, 2H), 3.80(s, 3H), 3.51 (s, 3H), 3.08 (s, 3H); <sup>13</sup>C-NMR (151 MHz, CDCl<sub>3</sub>) δ 161.87, 158.52, 134.80, 134.47, 129.69, 119.96, 38.90, 37.86,

21.04.

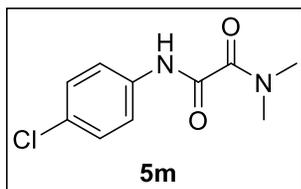
***N*<sup>1</sup>-(4-methoxyphenyl)-*N*<sup>2</sup>,*N*<sup>2</sup>-dimethyloxalamide (5l):** The title compound was synthesized



according to the general procedure and obtained as pale yellow solid (32.0 mg, 72%); mp. 113-115 °C; <sup>1</sup>H-NMR (600 Hz, CDCl<sub>3</sub>) δ 9.18 (s, 1H), 7.53-7.50 (m, 2H), 6.90-6.88 (m, 2H), 3.80 (s, 3H), 3.51 (s, 3H), 3.08 (s, 3H); <sup>13</sup>C-NMR (151 MHz, CDCl<sub>3</sub>) δ 162.07, 158.59, 157.22, 130.40,

121.79, 114.61, 55.84, 39.15, 38.14. HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{11}H_{14}N_2O_3Na$  245.0902; Found 245.0902.

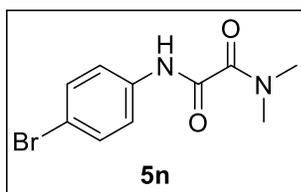
**$N^1$ -(4-chlorophenyl)- $N^2,N^2$ -dimethyloxalamide (5m):** The title compound was synthesized



according to the general procedure and obtained as pale yellow solid (18.6 mg, 41%); mp. 131-133 °C;  $^1H$ -NMR (600 Hz,  $CDCl_3$ )  $\delta$  9.33 (s, 1H), 7.57-7.55 (m, 2H), 7.33-7.30 (m, 2H), 3.51 (s, 3H), 3.09 (s, 3H);  $^{13}C$ -NMR (151 MHz,  $CDCl_3$ )  $\delta$  161.56, 158.71, 135.82, 130.42, 129.51,

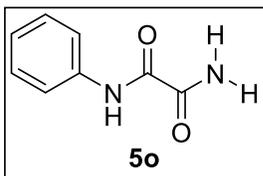
121.37, 39.18, 38.29. HRMS (HR-ESI)  $m/z$ :  $[M+Na]^+$  calcd for  $C_{10}H_{11}ClN_2O_2Na$  249.0407; Found 249.0407.

**$N^1$ -(4-bromophenyl)- $N^2,N^2$ -dimethyloxalamide (5n):**<sup>5</sup> The title compound was synthesized



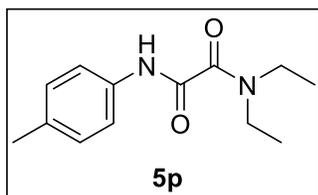
according to the general procedure and obtained as pale yellow solid (32.5 mg, 60%);  $^1H$ -NMR (600 Hz,  $CDCl_3$ )  $\delta$  9.31 (s, 1H), 7.52-7.45 (m, 4H), 3.51 (s, 3H), 3.09 (s, 3H);  $^{13}C$ -NMR (151 MHz,  $CDCl_3$ )  $\delta$  161.51, 158.68, 136.31, 132.47, 121.67, 118.08, 39.19, 38.33.

**$N^1$ -phenyloxalamide (5o):**<sup>3</sup> The title compound was synthesized according to the general



procedure and obtained as white solid (21.0 mg, 64%); mp. 102-104 °C;  $^1H$ -NMR (400 Hz,  $DMSO-d_6$ )  $\delta$  10.56 (s, 1H), 8.30 (bs, 1H), 7.98 (bs, 1H), 7.81 (d,  $J = 9.24$  Hz), 7.34 (t,  $J = 8.24$ ), 7.12 (t,  $J = 7.4$  Hz);  $^{13}C$ -NMR (100 MHz,  $DMSO-d_6$ )  $\delta$  162.7, 159.4, 138.2, 129.2, 124.9, 120.7.

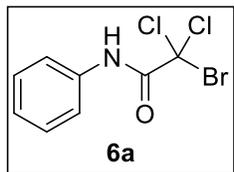
**$N^1,N^1$ -diethyl- $N^2$ -(*p*-tolyl)oxalamide (5p):**<sup>5</sup> The title compound was synthesized according to



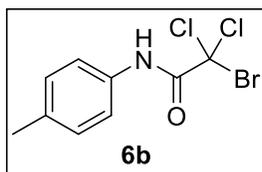
the general procedure and obtained as brown semi-solid (33.3 mg, 71%);  $^1H$ -NMR (400 Hz,  $CDCl_3$ )  $\delta$  10.57 (s, 1H), 7.53 (d,  $J = 8.0$  Hz, 2H), 7.14 (d,  $J = 8.0$  Hz, 2H), 2.26 (s, 3H), 1.34-1.22 (m, 3H), 1.17-1.10 (m, 7H);  $^{13}C$ -NMR (100 MHz,  $CDCl_3$ )  $\delta$  161.70, 158.99, 135.19, 134.99,

130.15, 120.39, 44.31, 43.51, 21.51, 15.39, 13.03.

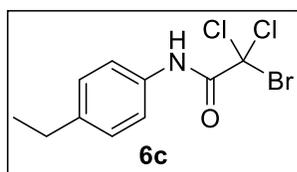
**2-bromo-2,2-dichloro-*N*-phenylacetamide (6a):** The title compound was synthesized according to the general procedure and obtained as white solid (49.8 mg, 88%); mp. 102-104 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 10.74 (s, 1H), 7.67-7.64 (m, 2H), 7.41 (t, *J* = 8.0 Hz, 2H), 7.43-7.19 (m, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 160.14, 136.59, 129.92, 126.61, 120.89, 75.83. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>8</sub>H<sub>6</sub>BrCl<sub>2</sub>NO 280.9010; Found 280.9005.



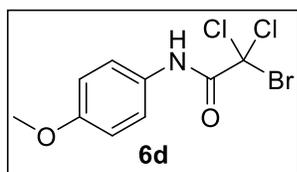
**2-bromo-2,2-dichloro-*N*-(*p*-tolyl) acetamide (6b):** The title compound was synthesized according to the general procedure and obtained as brown solid (41.5 mg, 70%); mp. 115-117 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.31 (s, 1H), 7.45 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 2.36 (s, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.32, 135.70, 133.22, 129.64, 120.14, 75.15, 20.78. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd C<sub>9</sub>H<sub>8</sub>BrCl<sub>2</sub>NO for 294.9166; Found 294.9160.



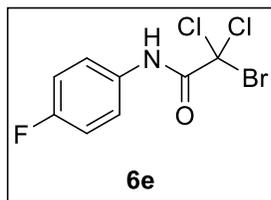
**2-bromo-2,2-dichloro-*N*-(4-ethylphenyl)acetamide (6c):** The title compound was synthesized according to the general procedure and obtained as brown solid (32.9 mg, 53%); mp. 119-121 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.32 (s, 1H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.23 (d, *J* = 8.0 Hz, 2H), 2.65 (q, *J* = 16.0 Hz, 8.0 Hz, 2H), 1.24 (t, *J* = 8.0 Hz, 3H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.65, 142.42, 133.72, 128.80, 120.54, 75.49, 28.51, 15.71. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd for C<sub>10</sub>H<sub>10</sub>BrCl<sub>2</sub>NO 308.9323; Found 308.9319.



**2-bromo-2,2-dichloro-*N*-(4-methoxyphenyl)acetamide (6d):** The title compound was synthesized according to the general procedure and obtained as white solid (41.9 mg, 67%); mp. 109-111 °C; <sup>1</sup>H-NMR (600 Hz, DMSO-*d*<sub>6</sub>) δ 7.54 (d, *J* = 12.0 Hz, 2H), 6.96 (d, *J* = 12.0 Hz, 2H), 3.76 (s, 3H); <sup>13</sup>C-NMR (151 MHz, CDCl<sub>3</sub>) δ 159.76, 157.81, 129.08, 122.29, 114.61, 55.69. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd C<sub>9</sub>H<sub>8</sub>BrCl<sub>2</sub>NO<sub>2</sub> for 310.9115; Found 310.9124.

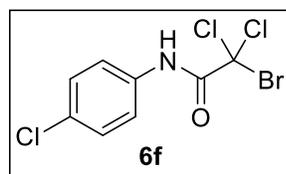


**2-bromo-2,2-dichloro-*N*-(4-fluorophenyl) acetamide (6e):** The title compound was synthesized



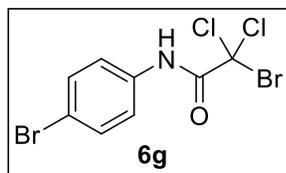
according to the general procedure and obtained as brown solid (36.7mg, 61%); mp. 102-104 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.41 (s, 1H), 7.54 (dq, *J* = 8.0 Hz, 4.0 Hz, 2H), 7.08 (t, *J* = 8.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 161.7 (d, *J*<sub>C-F</sub> = 175.8 Hz), 159.2, 132.1, 122.6 (d, *J*<sub>C-F</sub> = 8.2 Hz), 116.3 (d, *J*<sub>C-F</sub> = 22.7 Hz), 75.1; <sup>19</sup>F{<sup>1</sup>H} NMR (376MHz, CDCl<sub>3</sub>) δ -115.2; HRMS (HR-EI) *m/z*: [M<sup>+</sup>] C<sub>8</sub>H<sub>5</sub>BrCl<sub>2</sub>FNO calcd for 298.8916; Found 298.8910.

**2-bromo-2,2-dichloro-*N*-(4-chlorophenyl)acetamide (6f):** The title compound was synthesized



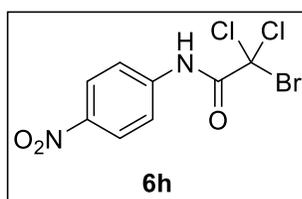
according to the general procedure and obtained as white solid (43.1 mg, 68%); mp. 134-136 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 10.86 (s, 1H), 7.69 (d, *J* = 8.0 Hz, 2H), 7.46 (d, *J* = 8.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.59, 134.49, 131.27, 129.37, 121.60, 74.88. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] C<sub>8</sub>H<sub>5</sub>BrCl<sub>3</sub>NO calcd for 314.8620; Found 314.8620.

**2-bromo-*N*-(4-bromophenyl)-2,2-dichloroacetamide (6g):** The title compound was synthesized



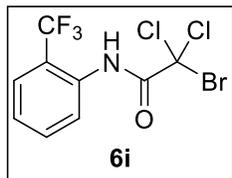
according to the general procedure and obtained as white solid (70.9 mg, 98%); mp. 131-133 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 10.85 (s, 1H), 7.65-7.57 (m, 4H); <sup>13</sup>C-NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 160.91, 137.11, 132.08, 123.72, 117.68, 75.31. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] calcd C<sub>8</sub>H<sub>5</sub>Br<sub>2</sub>Cl<sub>2</sub>NO for 358.8115; Found 358.8107.

**2-bromo-2,2-dichloro-*N*-(4-nitrophenyl)acetamide (6h):** The title compound was synthesized



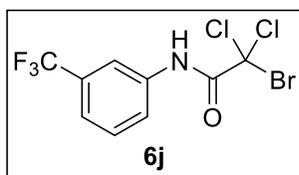
according to the general procedure and obtained as yellow solid (45.2 mg, 69%); mp. 136-138 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.64 (s, 1H), 8.32-8.28 (m, 2H), 7.83-7.79 (m, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.98, 145.17, 141.84, 125.43, 120.30, 74.61. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] C<sub>8</sub>H<sub>5</sub>BrCl<sub>2</sub>N<sub>2</sub>O<sub>3</sub> calcd for 325.8861; Found 325.8862.

**2-bromo-2,2-dichloro-N-(2-(trifluoromethyl)phenyl)acetamide (6i):** The title compound was



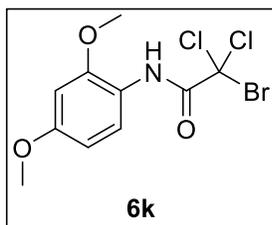
synthesized according to the general procedure and obtained as yellow liquid (60.3 mg, 86%);  $^1\text{H-NMR}$  (400 Hz,  $\text{CDCl}_3$ )  $\delta$  8.81 (s, 1H), 8.17 (d,  $J = 8.0$  Hz, 1H), 7.70-7.63 (m, 2H), 7.36-7.34 (m, 1H);  $^{13}\text{C-NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  160.0, 133.2 (d,  $J_{\text{C-F}} = 33.0$  Hz), 126.3 (q,  $J_{\text{C-F}} = 41.2$  Hz), 126.0, 125.1, 124.0, 122.4 (d,  $J_{\text{C-F}} = 166.9$  Hz), 121.1, 74.7;  $^{19}\text{F}\{^1\text{H}\}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -60.5; HRMS (HR-EI)  $m/z$ :  $[\text{M}^+]$  calcd  $\text{C}_9\text{H}_5\text{BrCl}_2\text{F}_3\text{NO}$  for 348.8884; Found 348.8838.

**2-bromo-2,2-dichloro-N-(3-(trifluoromethyl)phenyl)acetamide (6j):** The title compound was



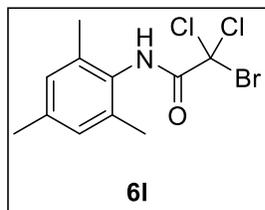
synthesized according to the general procedure and obtained as white solid (66.6 mg, 95%); mp. 138-140  $^\circ\text{C}$ ;  $^1\text{H-NMR}$  (400 Hz,  $\text{CDCl}_3$ )  $\delta$  8.47 (s, 1H), 7.70 (dd,  $J = 24.0$  Hz, 18.0 Hz, 4H);  $^{13}\text{C-NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.7, 139.0, 128.0, 127.7, 126.6 (q,  $J_{\text{C-F}} = 75.7$  Hz), 125.1 (d,  $J_{\text{C-F}} = 138.7$  Hz), 122.4 (d,  $J_{\text{C-F}} = 229.0$  Hz), 74.7;  $^{19}\text{F}\{^1\text{H}\}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.3; HRMS (HR-EI)  $m/z$ :  $[\text{M}^+]$  calcd  $\text{C}_9\text{H}_5\text{BrCl}_2\text{F}_3\text{NO}$  for 348.8884; Found 348.8885.

**2-bromo-2,2-dichloro-N-(2,4-dimethoxyphenyl)acetamide (6k):** The title compound was



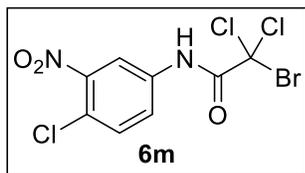
synthesized according to the general procedure and obtained as black semi solid (21.9 mg, 32%);  $^1\text{H-NMR}$  (600 Hz,  $\text{DMSO-}d_6$ )  $\delta$  9.81 (s, 1H), 7.33 (d,  $J = 12.0$  Hz, 1H), 6.67 (d,  $J = 6.0$  Hz, 1H), 6.56 (dd,  $J = 12.0$  Hz, 4.0 Hz), 3.81 (s, 3H), 3.78 (s, 3H);  $^{13}\text{C-NMR}$  (151 MHz,  $\text{DMSO-}d_6$ )  $\delta$  160.63, 158.92, 153.87, 126.03, 117.88, 104.48, 99.13, 74.91, 95.94, 95.37. HRMS (HR-EI)  $m/z$ :  $[\text{M}^+]$  calcd  $\text{C}_{10}\text{H}_{10}\text{BrCl}_2\text{NO}_3$  for 340.9221; Found 340.9229.

**2-bromo-2,2-dichloro-N-mesitylacetamide (6l):** The title compound was synthesized according



to the general procedure and obtained as yellow solid (50.0 mg, 77%); mp. 142-144  $^\circ\text{C}$ ;  $^1\text{H-NMR}$  (400 Hz,  $\text{CDCl}_3$ )  $\delta$  7.90 (s, 1H), 6.92 (s, 2H), 2.29 (s, 3H), 2.23 (s, 6H);  $^{13}\text{C-NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  160.74, 138.41, 135.55, 129.52, 75.73, 21.28, 18.20. HRMS (HR-EI)  $m/z$ :  $[\text{M}^+]$  calcd  $\text{C}_{11}\text{H}_{12}\text{BrCl}_2\text{NO}$  for 322.9479; Found 322.9470.

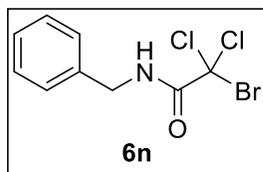
**2-bromo-2,2-dichloro-*N*-(4-chloro-3-nitrophenyl)acetamide (6m):** The title compound was



synthesized according to the general procedure and obtained as white solid (59.4 mg, 82%); mp. 105-107 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 8.59 (s, 1H), 8.23 (d, *J* = 4.0 Hz, 1H), 7.79 (dd, *J* = 8.0 Hz, 4.0 Hz, 1H), 7.59 (d, *J* = 4.0 Hz, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 159.94, 135.51, 132.57,

124.54, 123.62, 117.23, 74.05. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] C<sub>8</sub>H<sub>4</sub>BrCl<sub>3</sub>N<sub>2</sub>O<sub>3</sub> calcd for 359.8471; Found 359.8471.

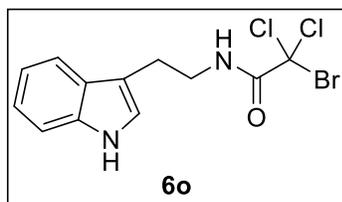
***N*-benzyl-2-bromo-2,2-dichloroacetamide (6n):** The title compound was synthesized according



to the general procedure and obtained as white solid (42.2 mg, 71%); mp. 104-106 °C; <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 9.53 (s, 1H), 7.36-7.24 (m, 5H), 4.38 (d, *J* = 8.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.41, 136.48, 129.14, 128.31, 127.91, 75.16, 45.60. HRMS (EI) *m/z*: [M<sup>+</sup>] C<sub>9</sub>H<sub>8</sub>BrCl<sub>2</sub>NO

calcd for 294.9166; Found 294.9166.

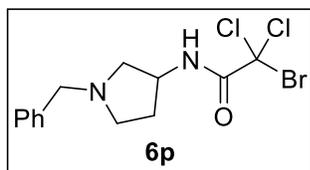
***N*-(2-(1H-indol-3-yl)ethyl)-2-bromo-2,2-dichloroacetamide (6o):** The title compound was



synthesized according to the general procedure and obtained as light brown semi solid (22.40 mg, 32%); <sup>1</sup>H-NMR (400 Hz, DMSO-*d*<sub>6</sub>) δ 10.83 (s, 1H), 9.06 (t, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 8.0 Hz, 1H), 7.17 (s, 1H), 7.07 (t, *J* = 8.0 Hz, 1H), 6.99 (t, *J* = 8.0

Hz, 1H), 3.50-3.43 (m, 2H), 2.92 (t, *J* = 8.0 Hz, 2H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 162.68, 136.91, 127.46, 122.92, 122.77, 120.19, 119.08, 112.50, 111.81, 75.73, 42.14, 25.12. HRMS (HR-EI) *m/z*: [M<sup>+</sup>] C<sub>12</sub>H<sub>11</sub>BrCl<sub>2</sub>N<sub>2</sub>O calcd for 347.9432; Found 347.9440.

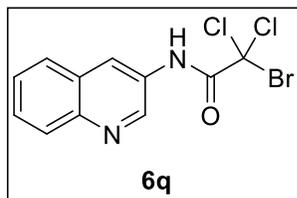
***N*-(1-benzylpyrrolidin-3-yl)-2-bromo-2,2-dichloroacetamide (6p):** The title compound was



synthesized according to the general procedure and obtained as brown solid (56.3 mg, 77%); mp. 168-170 °C; <sup>1</sup>H-NMR (400 Hz, CDCl<sub>3</sub>) δ 9.09 (s, 1H), 7.57 (s, 2H), 7.44-7.38 (m, 3H), 4.92 (s, 1H), 4.35-4.28 (m, 2H), 3.78 (s, 1H), 3.65-3.62 (m, 1H), 3.27 (s, 1H), 3.00 (s, 1H), 2.63-2.61 (m, 1H), 2.38-2.36 (m, 1H); <sup>13</sup>C-NMR (100 MHz, CDCl<sub>3</sub>) δ 163.02, 130.61, 129.71, 128.90, 128.53, 74.47, 58.15, 52.55,

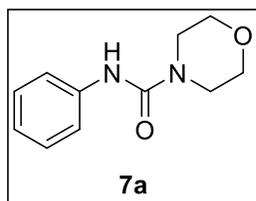
48.83, 34.42, 31.74. HRMS (HR-ESI)  $m/z$ :  $[M+H]^+$  calcd for  $C_{13}H_{16}BrCl_2N_2$  364.9823; Found 364.9812.

**2-bromo-2,2-dichloro-N-(quinolin-3-yl) acetamide (6q):** The title compound was synthesized



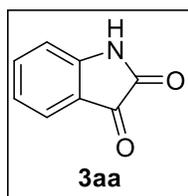
according to the general procedure and obtained as pale-yellow solid (41.4 mg, 62%); mp. 109-111 °C;  $^1H$ -NMR (400 Hz,  $DMSO-d_6$ )  $\delta$  11.25 (s, 1H), 9.09 (d,  $J = 4.0$  Hz, 1H), 8.67 (d,  $J = 4.0$  Hz, 1H), 8.02 (d,  $J = 8.0$  Hz, 2H), 7.76-7.72 (m, 1H), 7.65-7.61 (m, 1H);  $^{13}C$ -NMR (100 MHz,  $DMSO-d_6$ )  $\delta$  161.16, 145.64, 145.00, 131.06, 128.95, 128.57, 128.06, 127.34, 127.28, 125.72, 74.54;

HRMS (EI)  $m/z$ :  $[M^+]$   $C_{12}H_{13}FN_2O_3$  calcd for 252.0910; Found 252.0916.



**N-phenylmorpholine-4-carboxamide (7a):** <sup>12</sup> The title compound was synthesized according to the general procedure and obtained as white solid (25.5 mg, 62%);  $^1H$ -NMR (400 Hz,  $DMSO-d_6$ )  $\delta$  8.50 (s, 1H), 7.46-7.44 (m, 2H), 7.25-7.21 (m, 2H), 6.96-6.91 (m, 1H), 3.61 (t,  $J = 8.0$  Hz, 4H), 3.42

(t,  $J = 4.0$  Hz, 4 Hz);  $^{13}C$ -NMR (100 MHz,  $DMSO-d_6$ )  $\delta$  155.17, 140.35, 128.28, 121.80, 119.64, 119.63, 119.62, 119.60, 119.59, 66.99, 44.16.



**indoline-2,3-dione (3aa):** <sup>2</sup> The title compound was synthesized according to the general procedure and obtained as orange solid (18.2 mg, 62%);  $^1H$ -NMR (400 Hz,  $DMSO-d_6$ )  $\delta$  11.03 (s, 1H), 7.58 (t,  $J = 8.0$  Hz, 1H), 7.49 (d,  $J = 4.0$  Hz, 1H), 7.06 (t,  $J = 8.0$  Hz, 1H), 6.90 (d,  $J = 4.0$  Hz, 1H);  $^{13}C$ -NMR (100 MHz,  $DMSO-d_6$ )

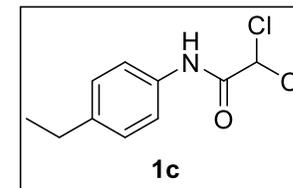
$\delta$  184.38, 159.36, 150.71, 138.37, 124.69, 122.76, 117.82, 112.20.

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# Proton and Carbon Spectrum

**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



8.1470

7.4691

7.4479

7.2122

7.1910

6.0442

2.6696

2.6506

2.6316

2.6126

1.2479

1.2289

1.2099

1.07

2.05

2.00

0.91

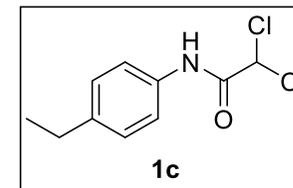
2.18

3.09

5.034  
f1 (ppm)



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

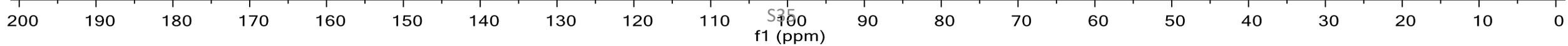


— 162.3270  
— 142.5313  
— 134.4091  
— 129.1484  
— 120.9948

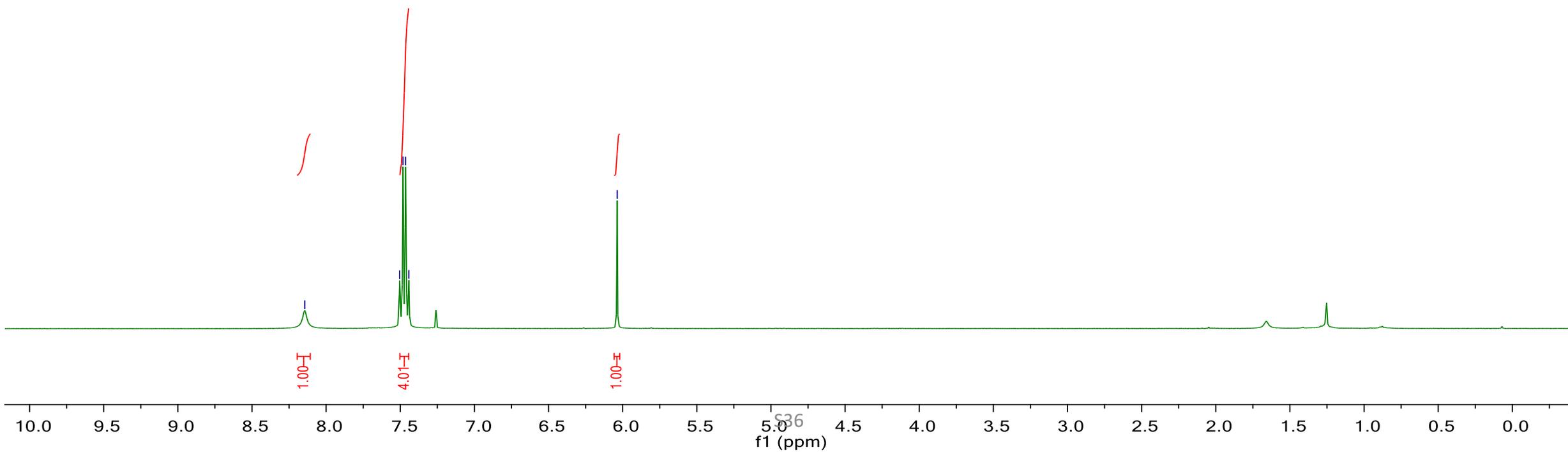
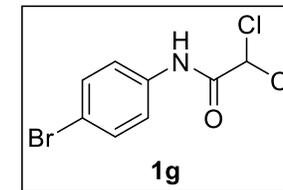
— 67.5006

— 28.9478

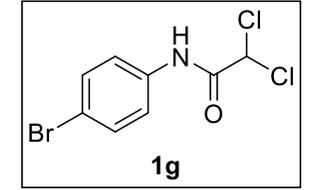
— 16.1808



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**

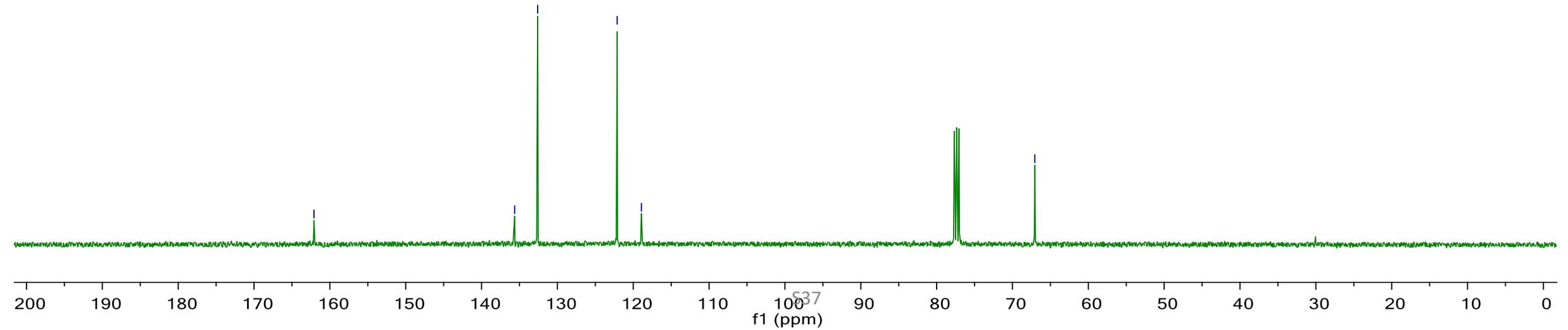


**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

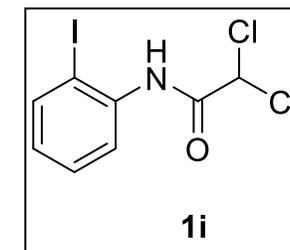


— 162.0930  
— 135.6353  
— 132.6036  
— 122.1154  
— 118.9248

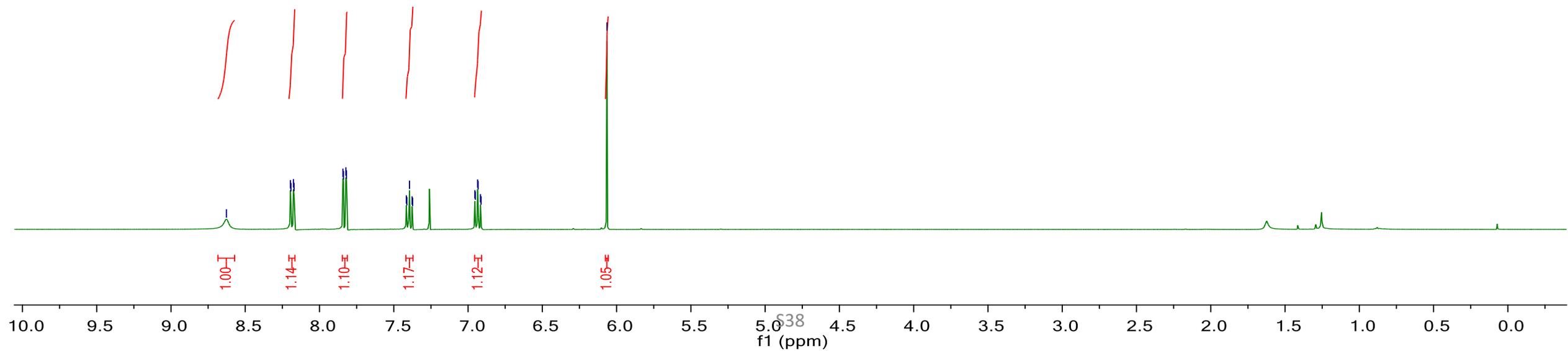
— 67.0614



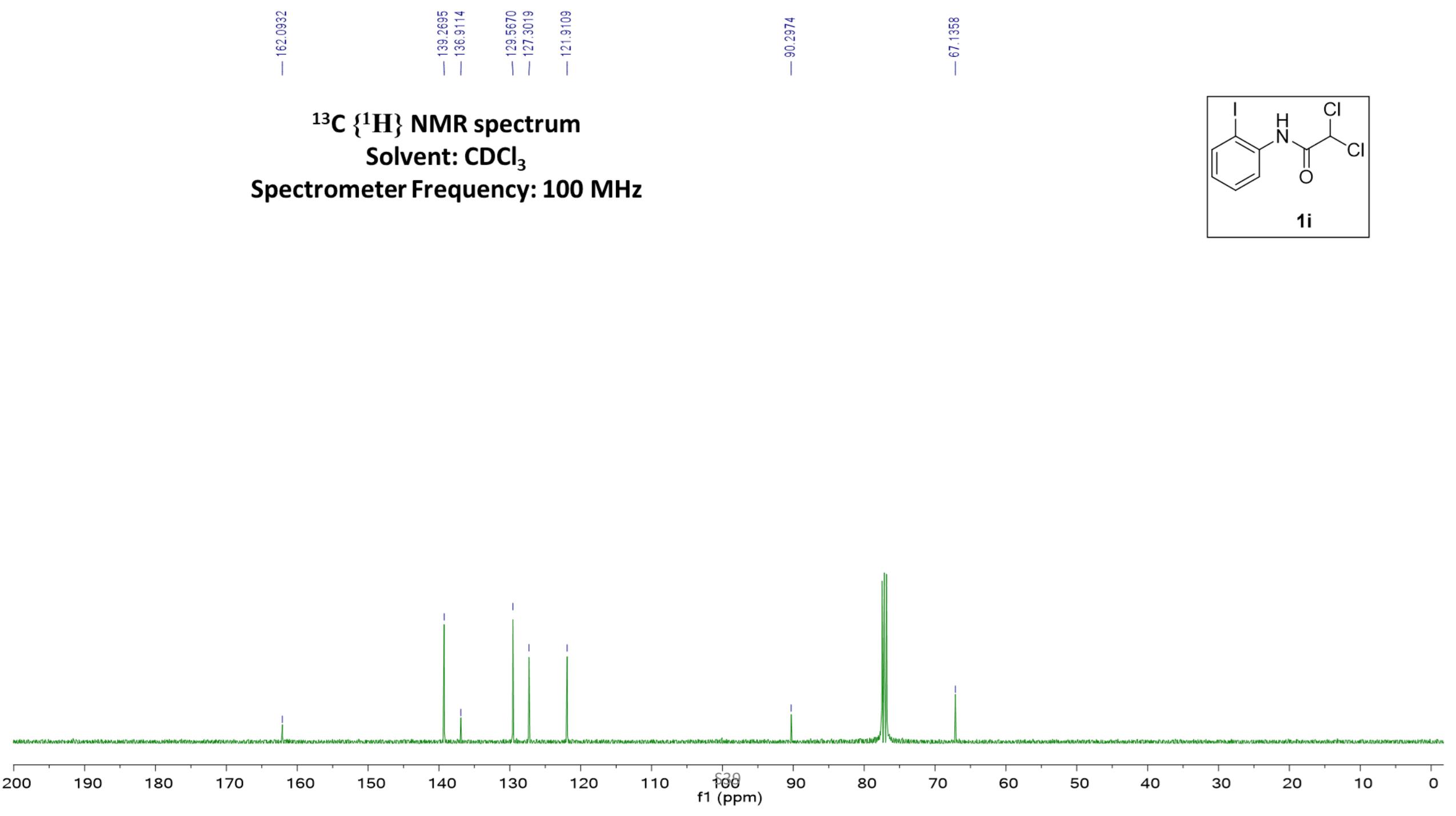
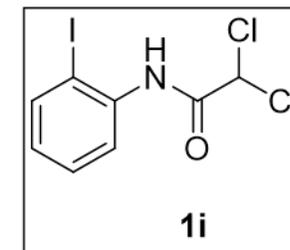
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



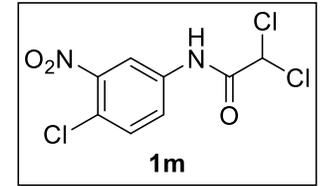
8.6268  
8.1959  
8.1922  
8.1753  
8.1716  
7.8422  
7.8222  
7.8187  
7.4159  
7.3943  
7.3767  
6.9509  
6.9356  
6.9319  
6.9162  
6.9124  
6.0651



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

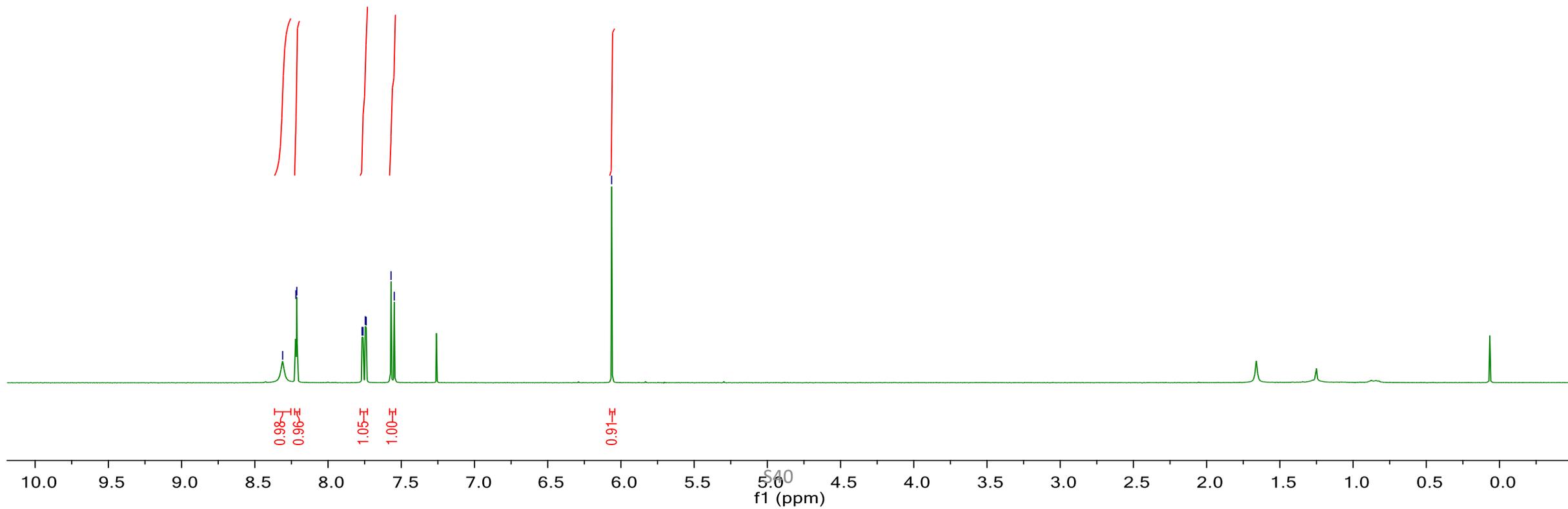


<sup>1</sup>H NMR spectrum  
Solvent: CDCl<sub>3</sub>  
Spectrometer Frequency: 400 MHz

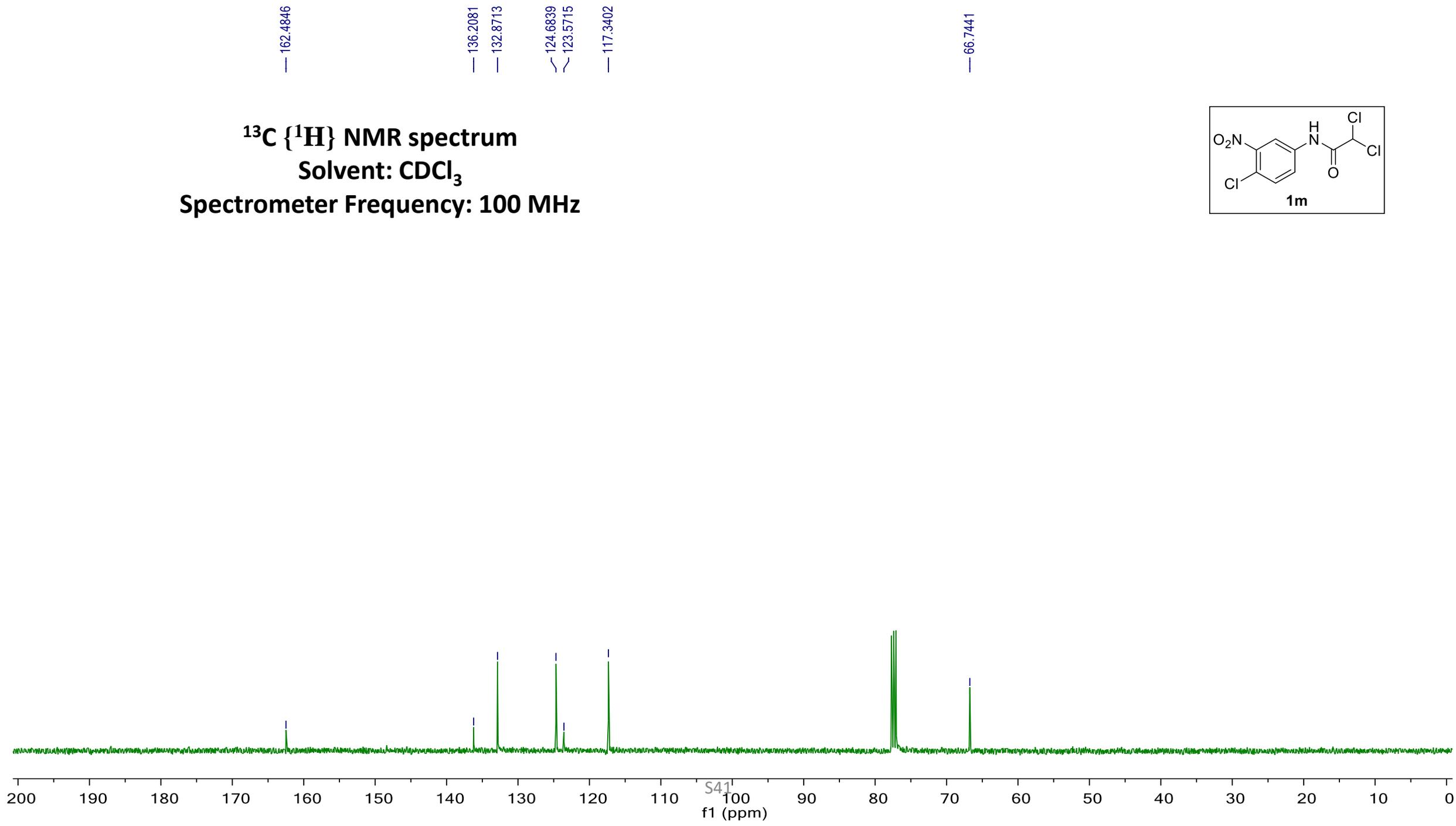
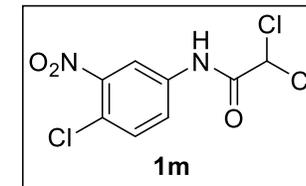


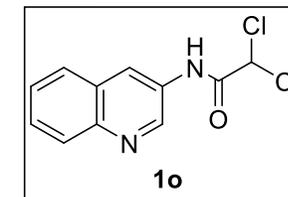
8.3095  
8.2194  
8.2130  
7.7676  
7.7611  
7.7456  
7.7391  
7.5698  
7.5479

6.0632



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

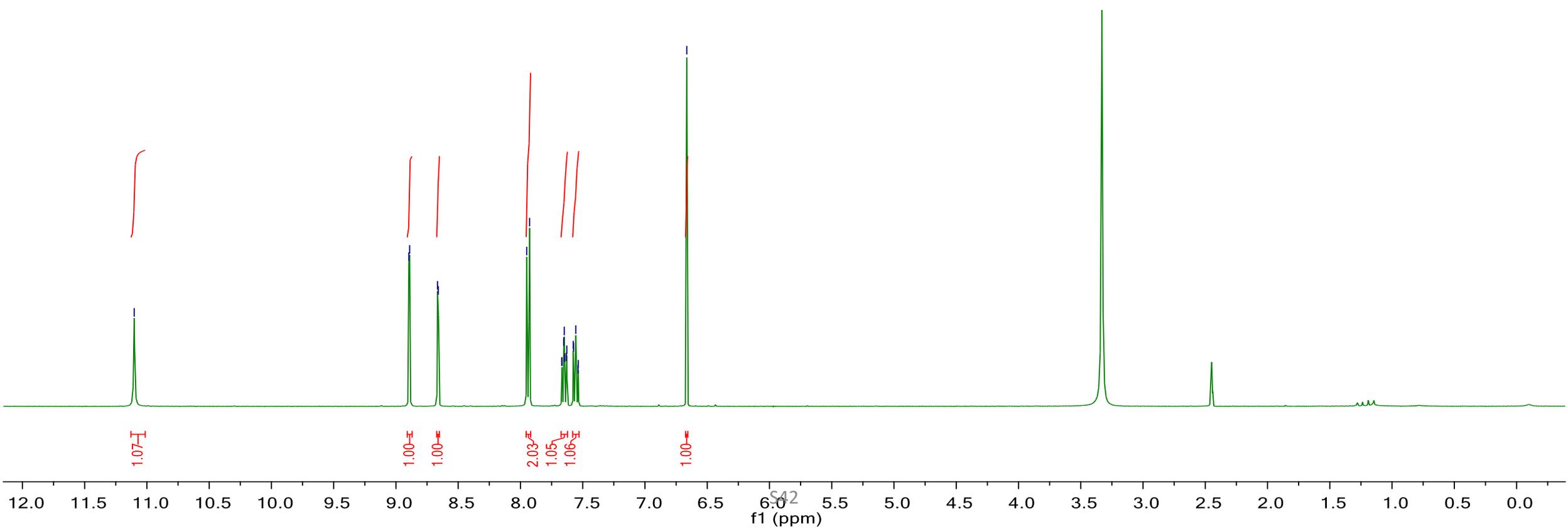




**1o**

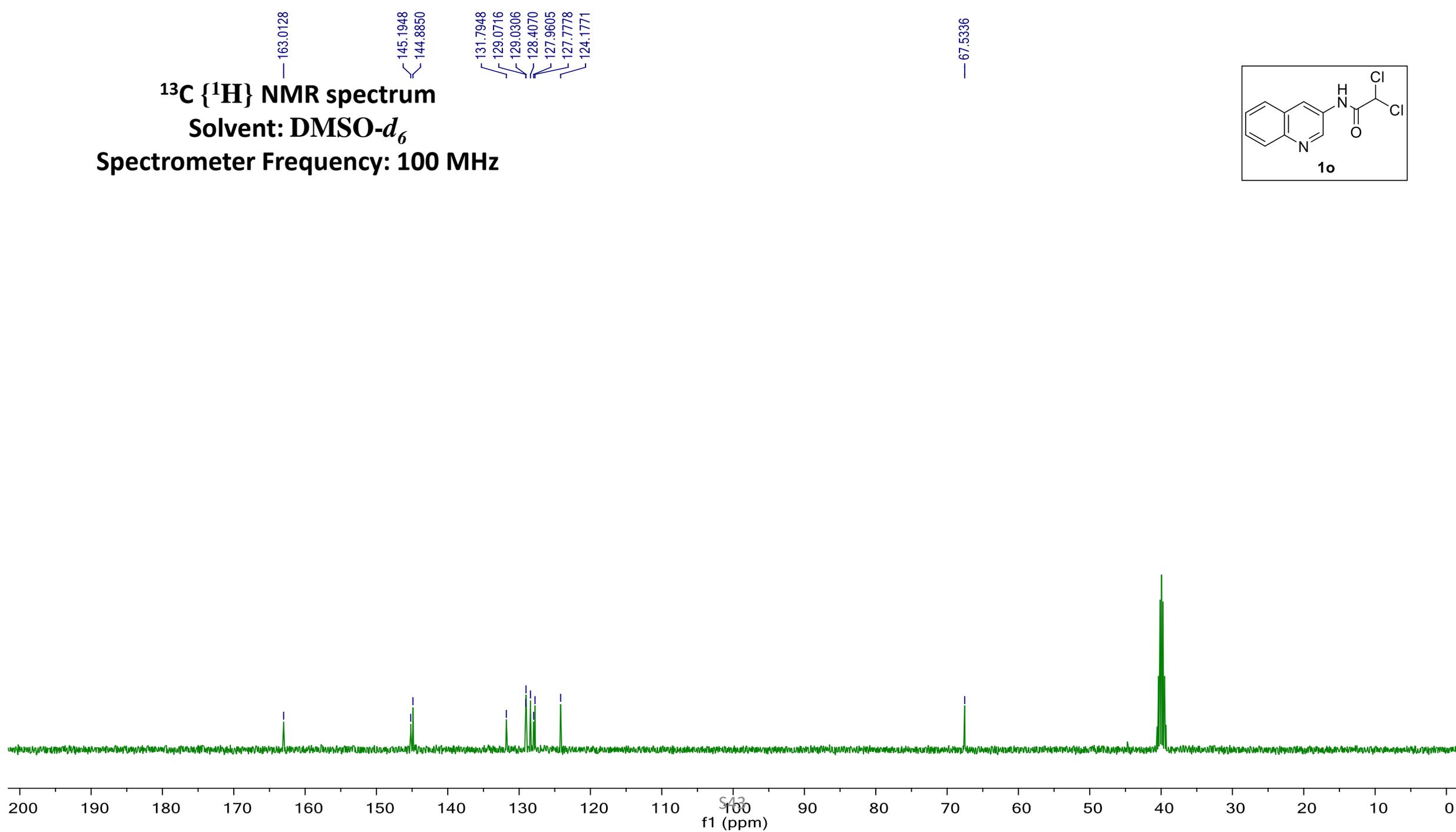
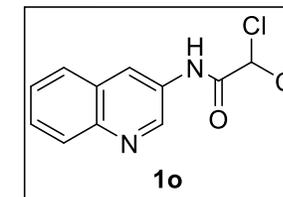
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**

11.1023  
8.8963 8.8900 8.6659 8.6597  
7.9498 7.9266 7.6661 7.6518 7.6485 7.6452 7.6312 7.6278 7.5759 7.5730 6.6643

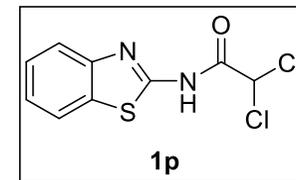


S42

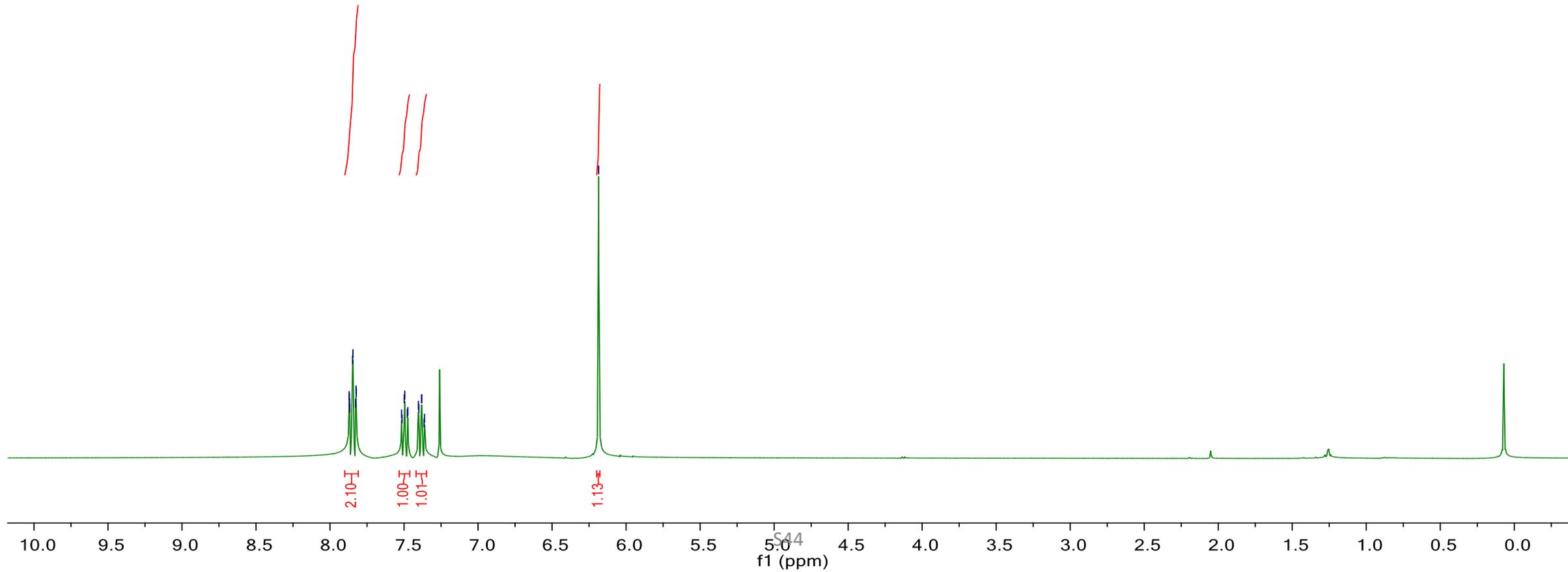
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 100 MHz**



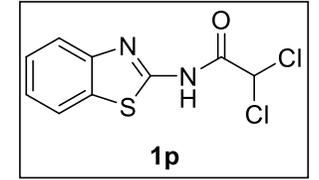
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



7.8706  
7.8691  
7.8677  
7.8658  
7.8476  
7.8451  
7.8273  
7.8244  
7.8225  
7.5170  
7.5136  
7.4987  
7.4954  
7.4782  
7.4745  
7.4033  
7.3999  
7.3824  
7.3799  
6.1854

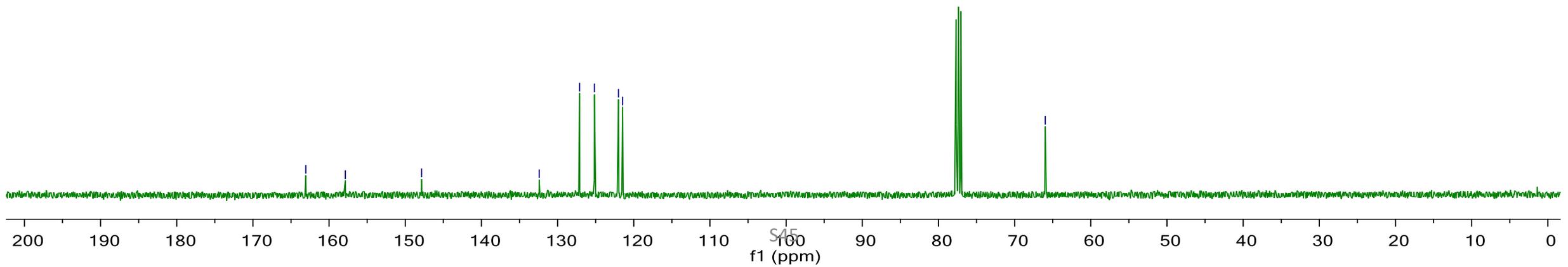


**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

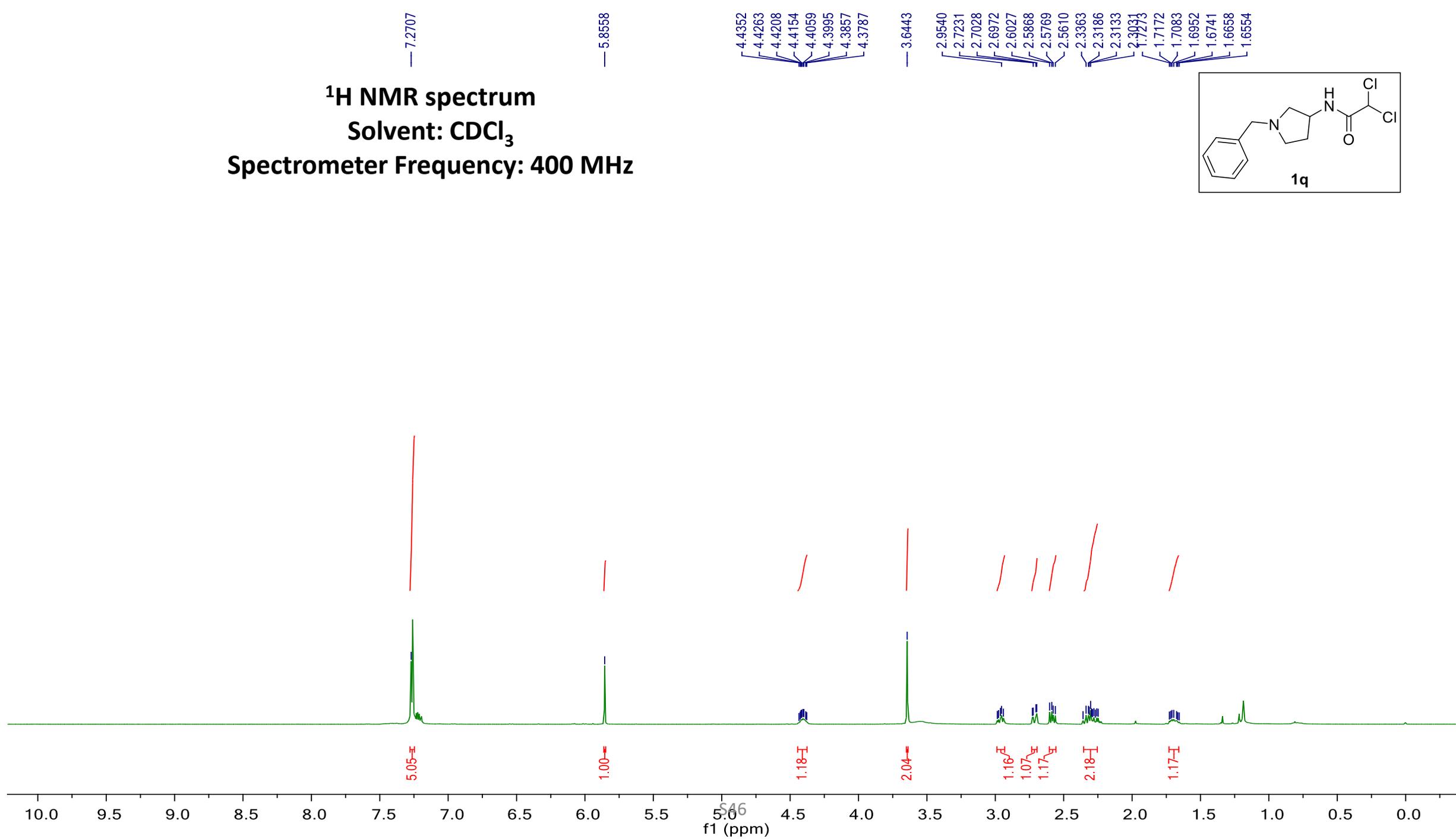
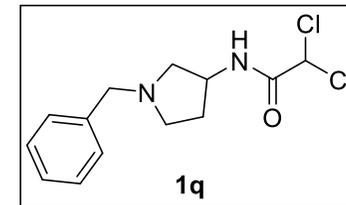


— 163.0544  
— 157.8692  
— 147.8609  
— 132.4191  
— 127.1204  
— 125.1625  
— 122.0054  
— 121.4625

— 65.9778



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

163.6395

137.1612

129.0711

128.6369

127.7472

66.5405

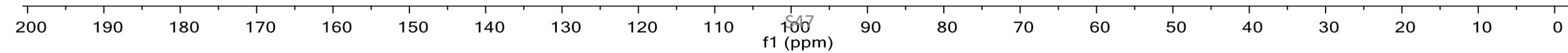
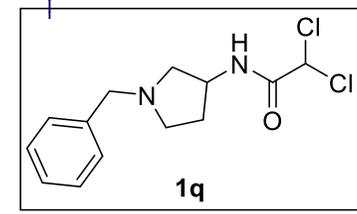
59.7920

59.6523

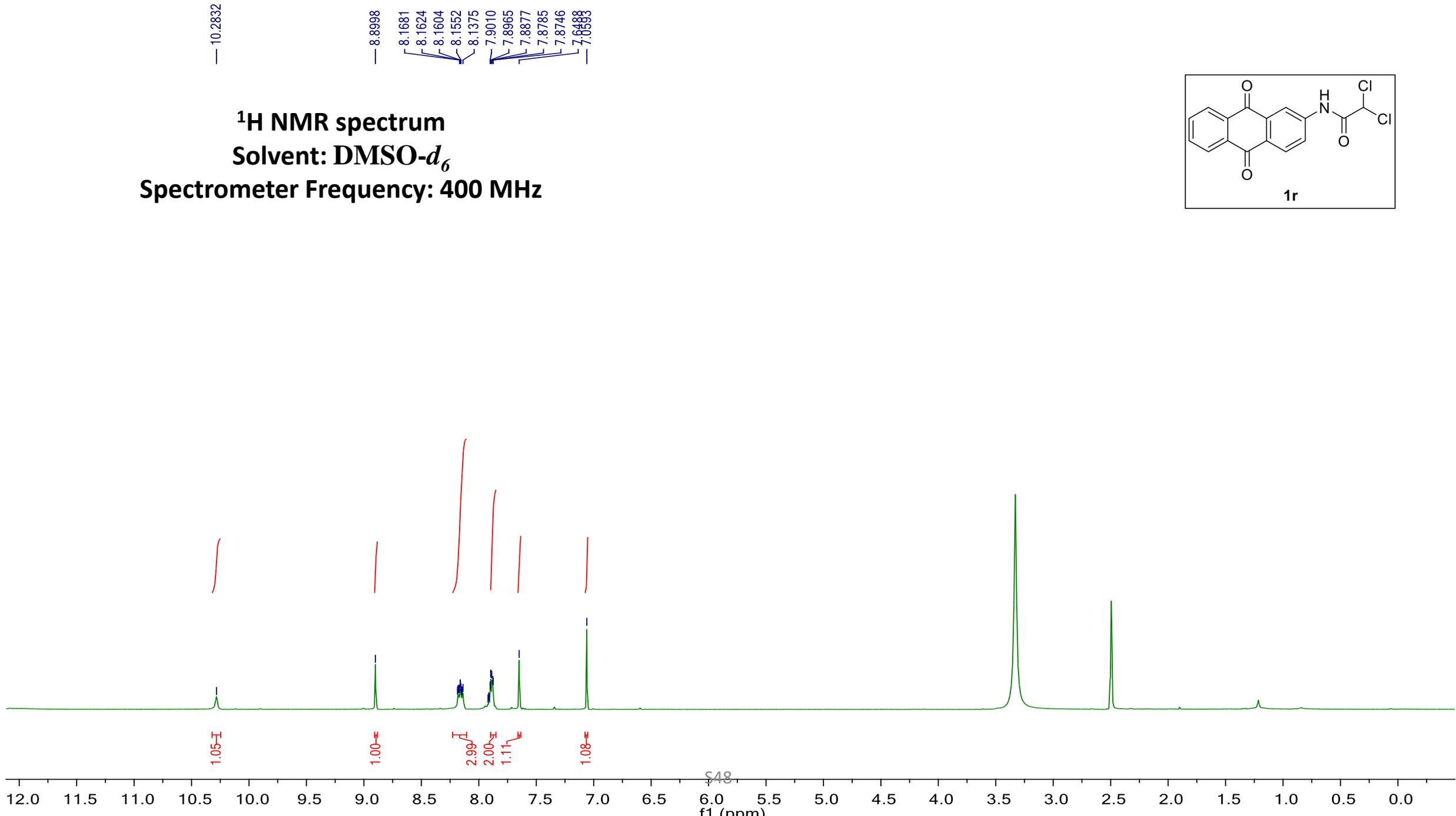
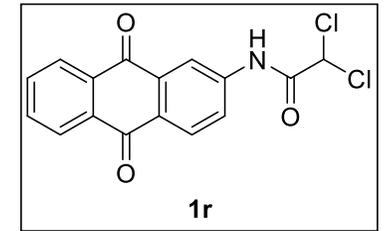
52.4168

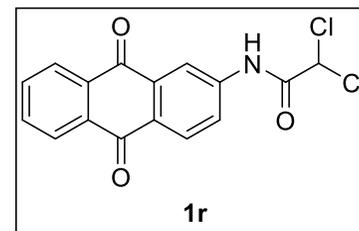
49.5163

31.9236

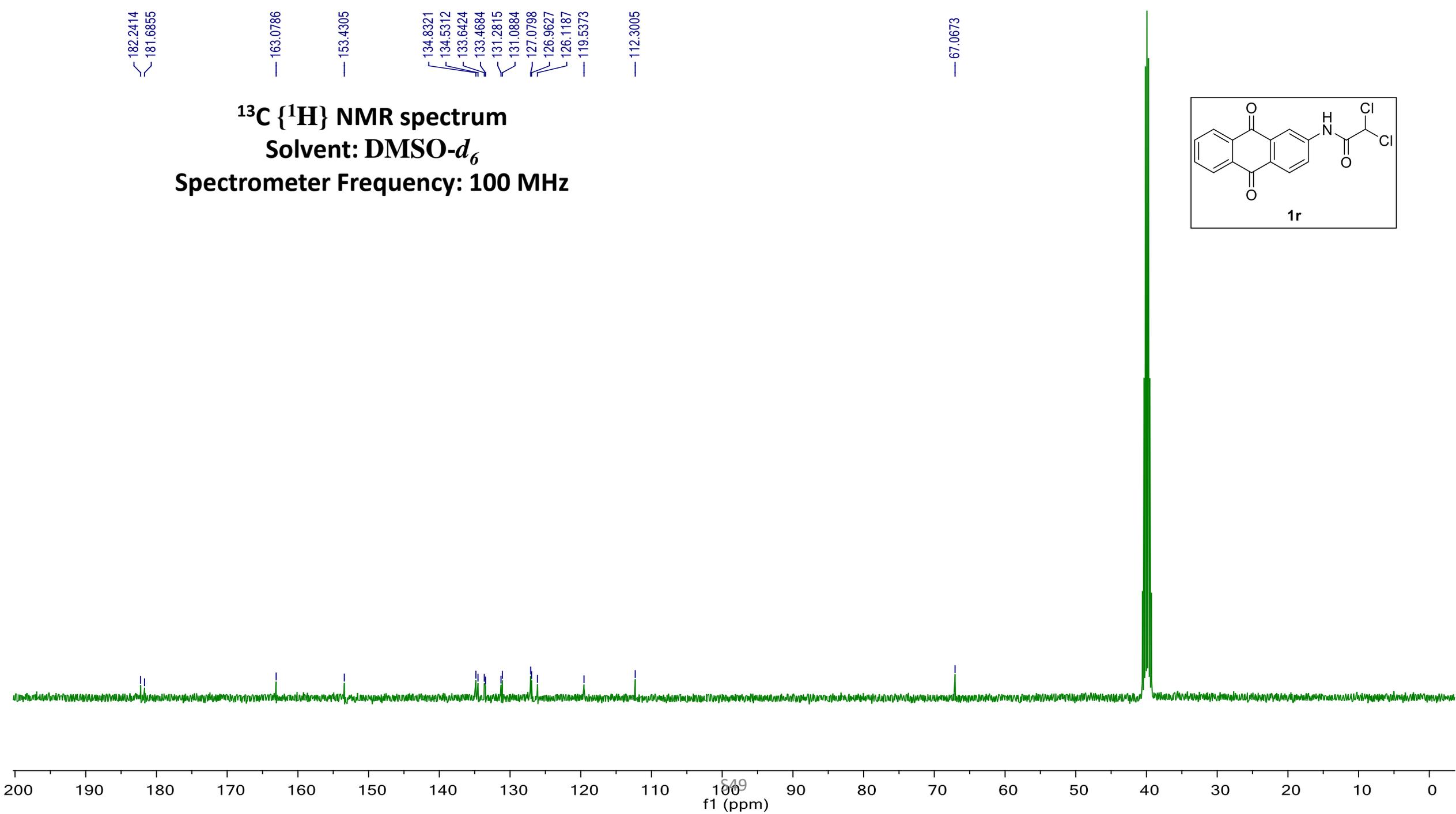


<sup>1</sup>H NMR spectrum  
Solvent: DMSO-*d*<sub>6</sub>  
Spectrometer Frequency: 400 MHz

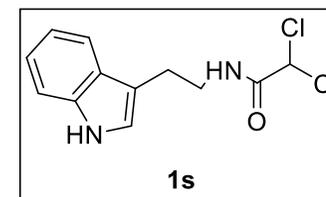




**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**

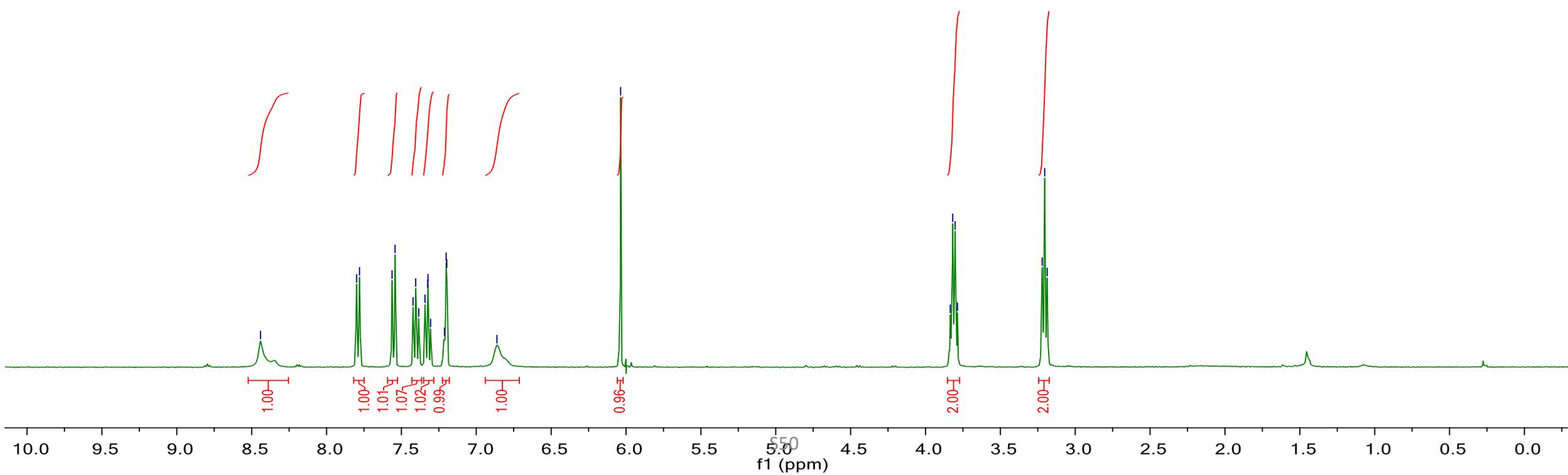


8.4412  
7.7993  
7.7796  
7.5624  
7.5422  
7.4224  
7.4045  
7.3422  
7.3246  
7.3227  
7.2013  
7.1868  
6.8618

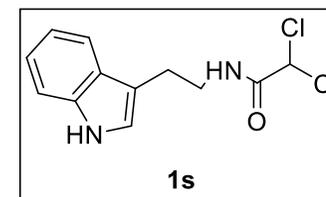
6.0358

3.8346  
3.8181  
3.8021  
3.7866

3.2206  
3.2039  
3.1871



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



— 164.0852

— 136.3833

— 126.9914

— 122.3413

— 122.2500

— 119.5187

— 118.5320

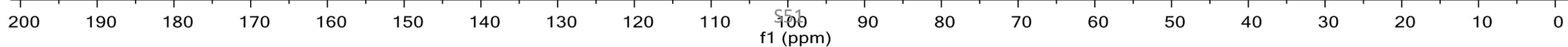
— 111.9654

— 111.3661

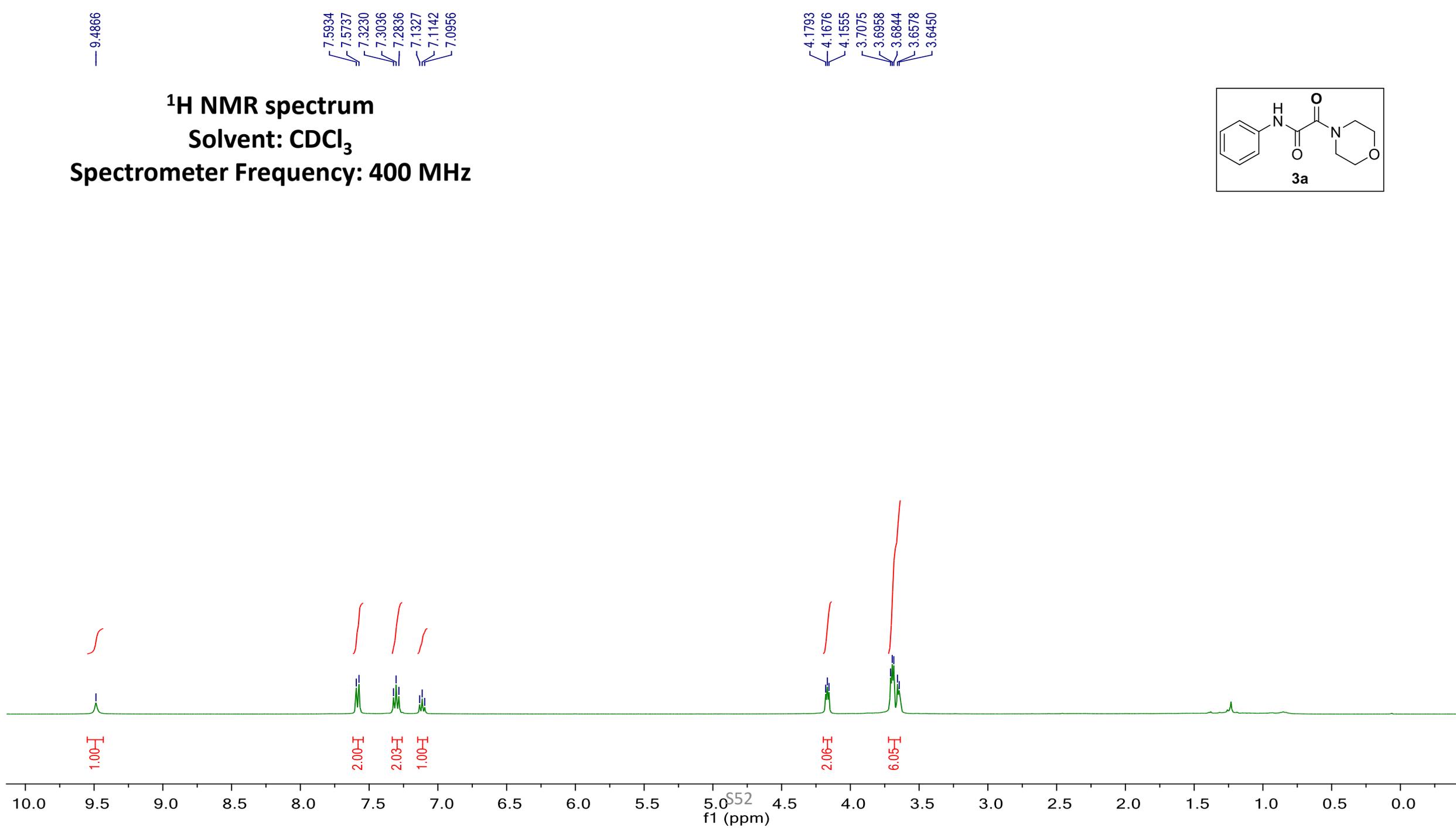
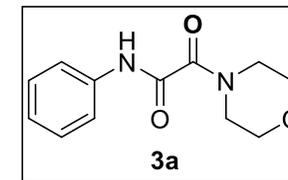
— 66.4574

— 40.5309

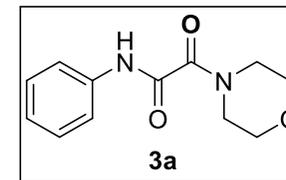
— 24.7556



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



160.5920  
158.5169

136.8048

128.9827

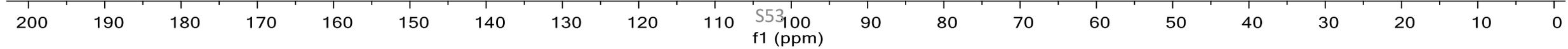
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119.9848

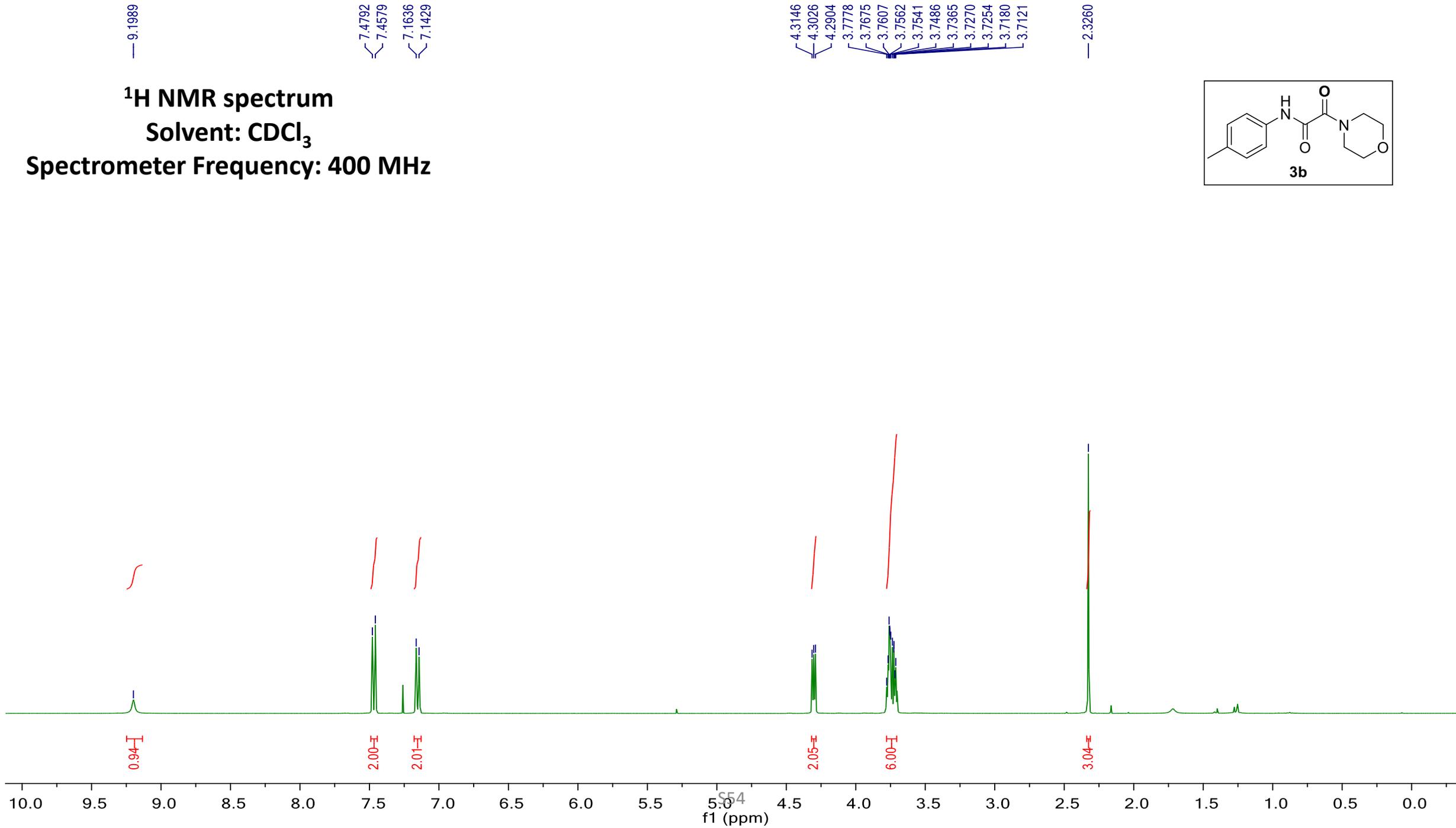
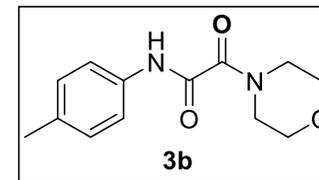
67.0537  
66.5951

47.1651

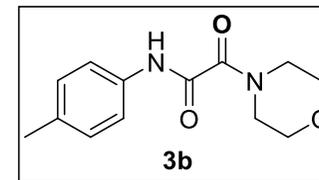
43.7241



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



160.4125  
158.1907

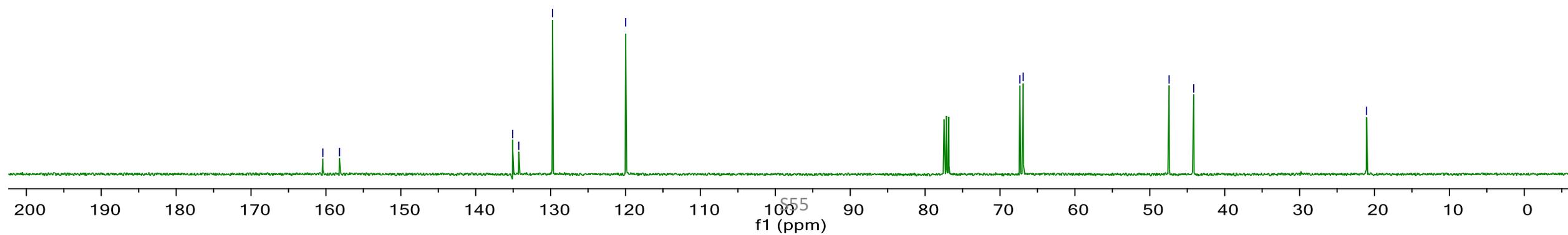
135.0712  
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129.7451

119.9832

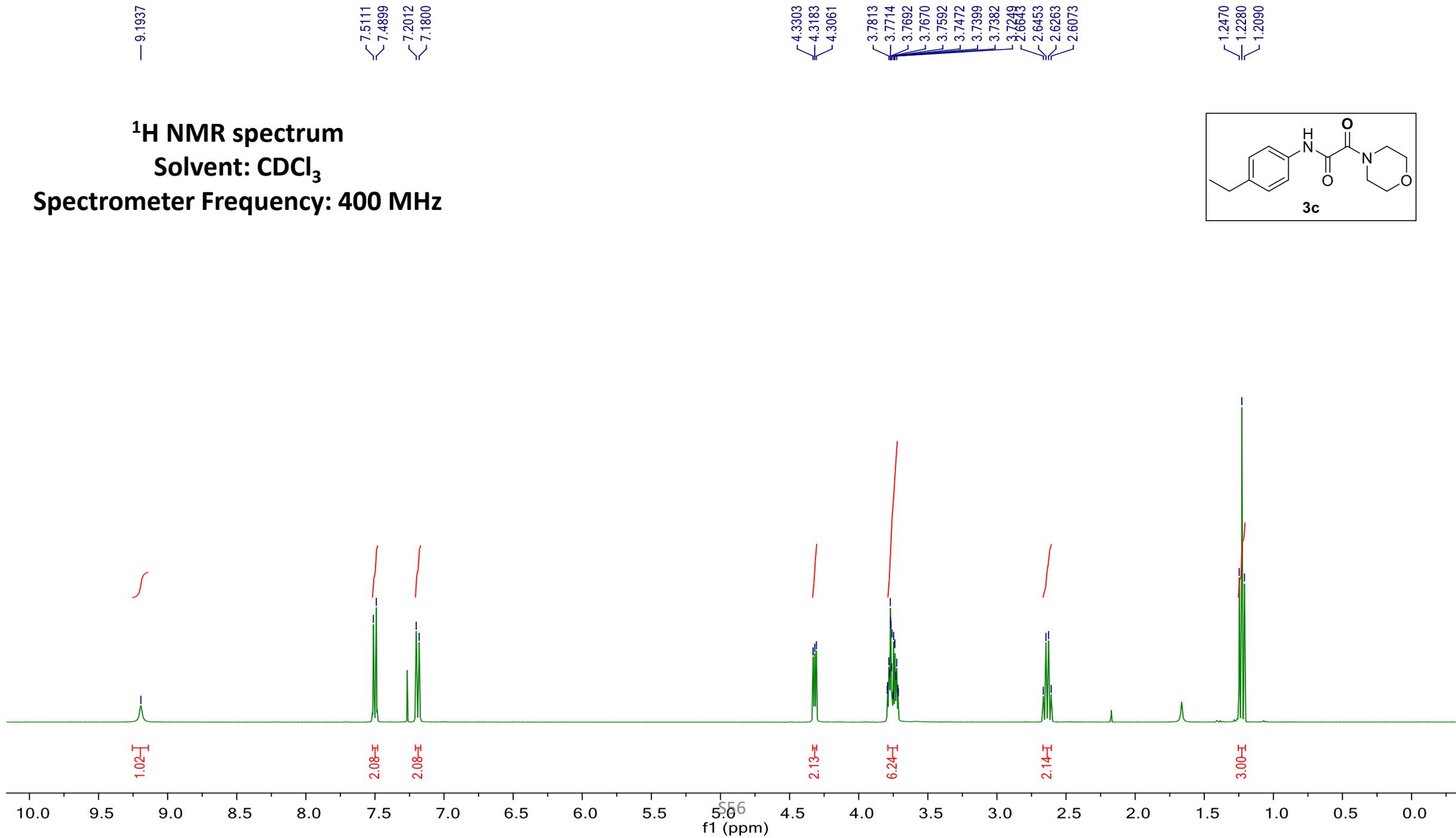
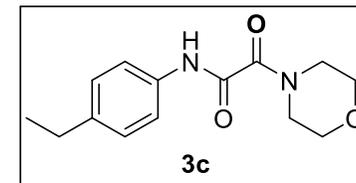
67.3479  
66.9031

47.4231  
44.1365

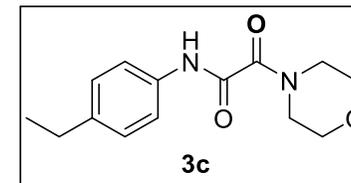
21.0614



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



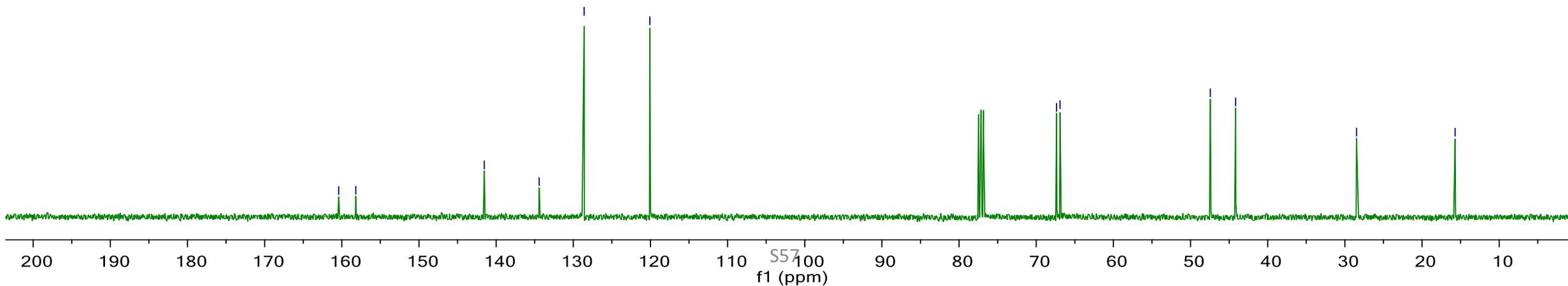
— 160.4049  
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— 134.4191  
— 128.5950  
— 120.0761

— 67.3641  
— 66.9187

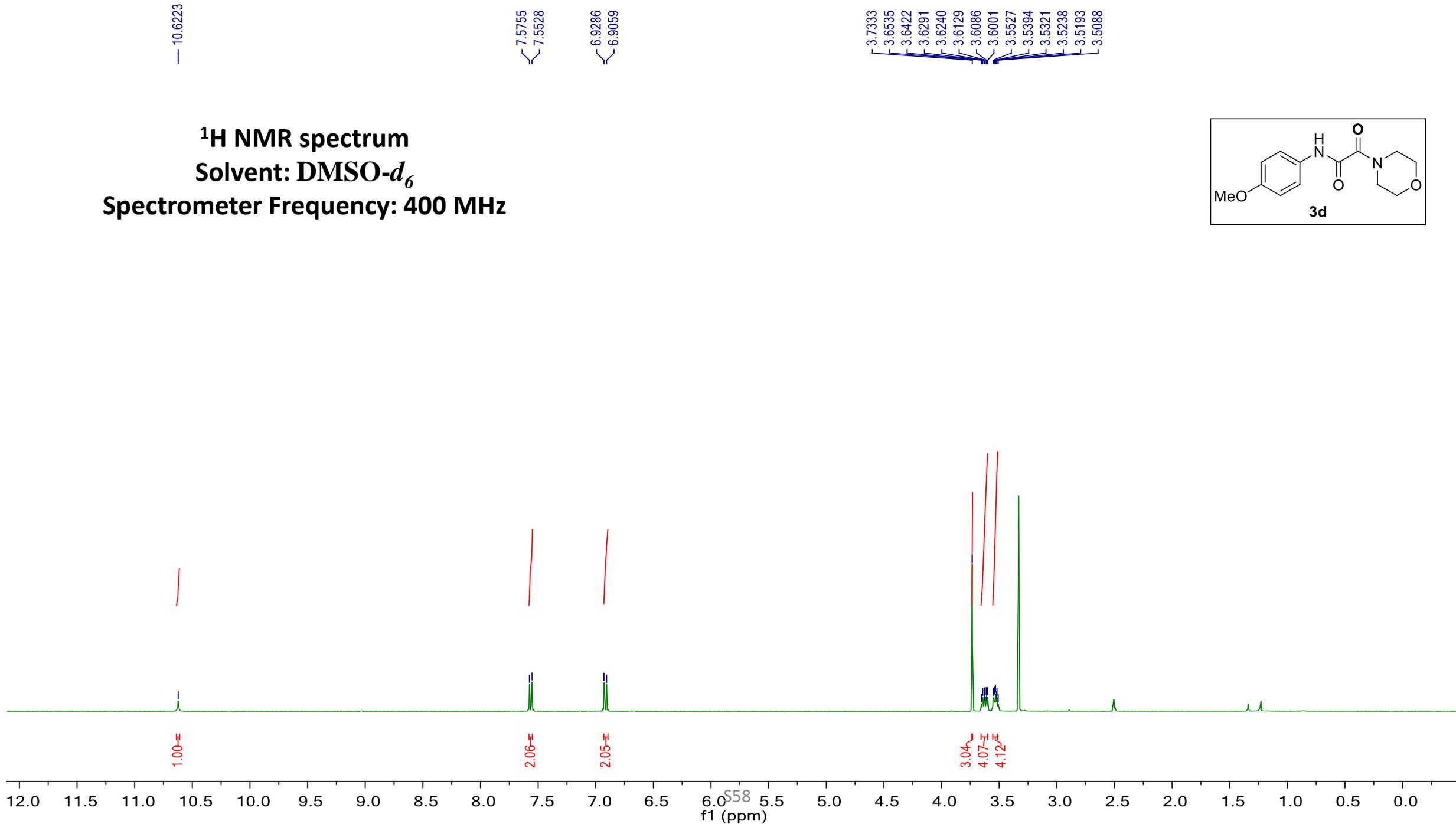
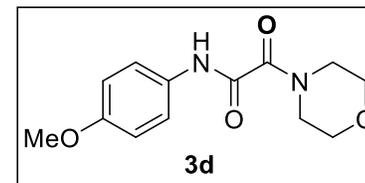
— 47.4373  
— 44.1588

— 28.4930

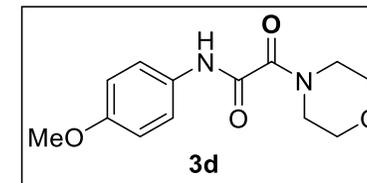
— 15.7135



**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



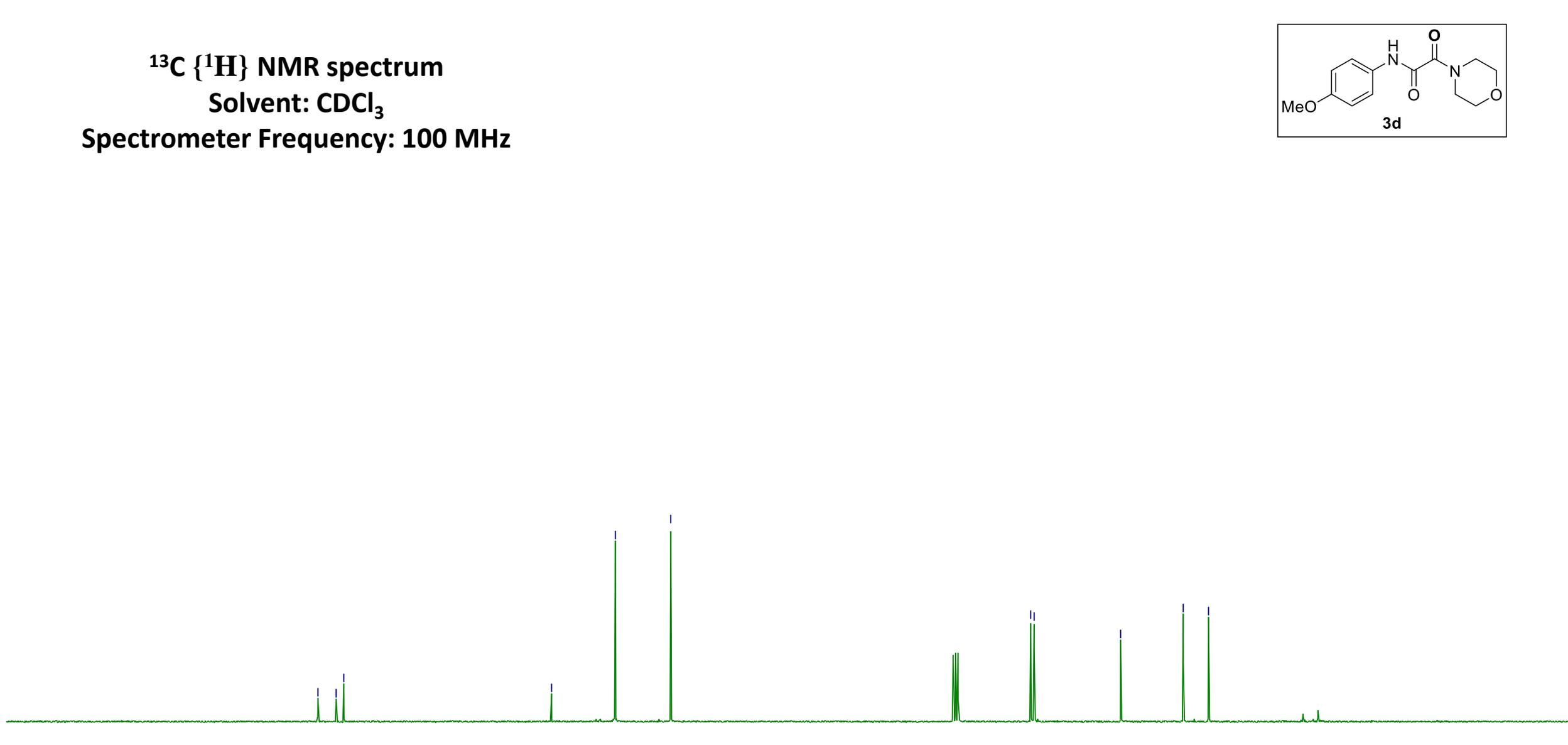
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157.4183

130.2738  
121.9372  
114.6973

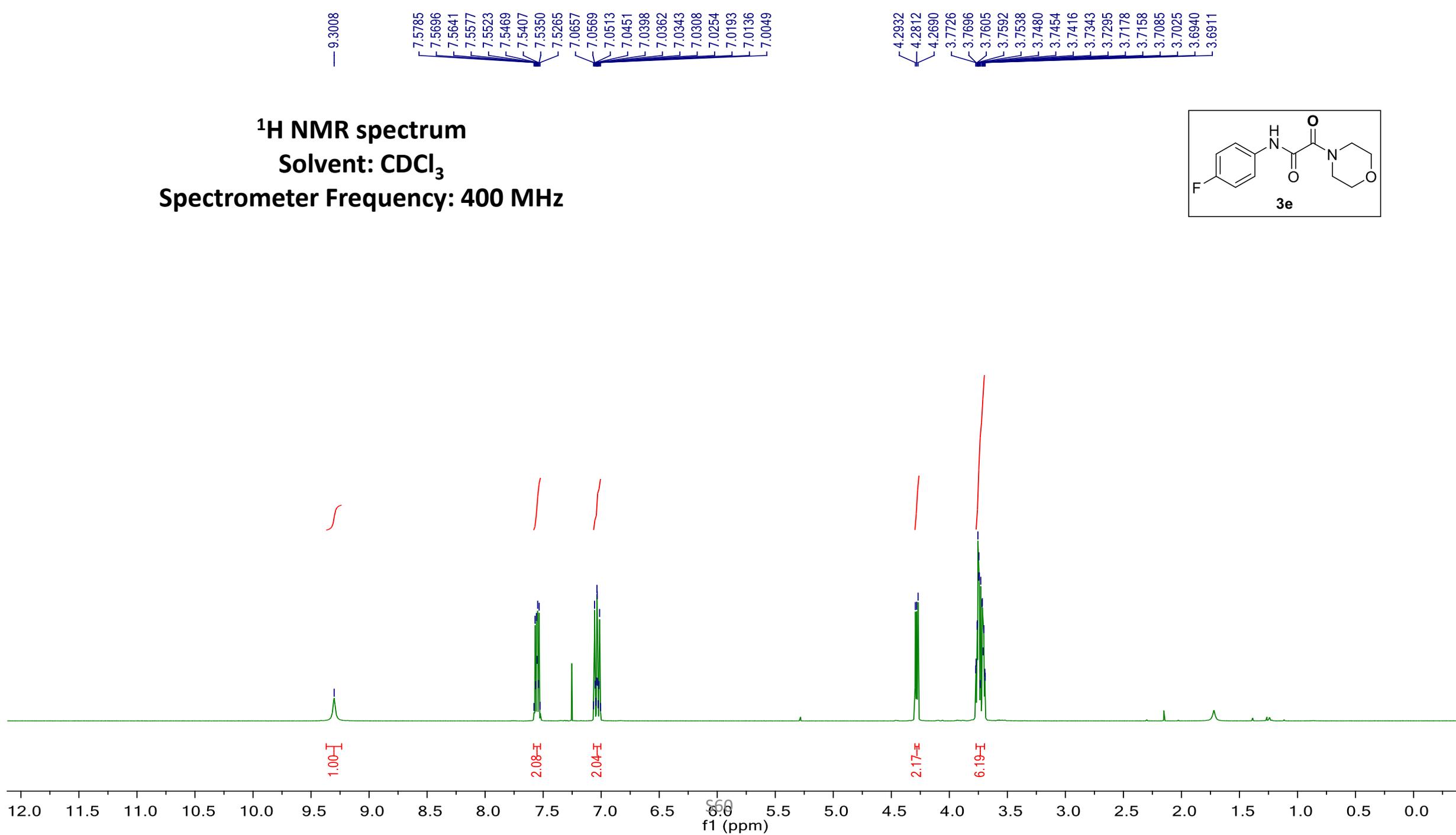
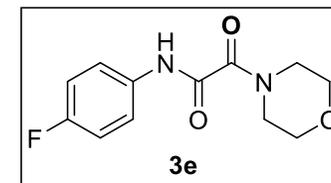
67.6570  
67.2100  
55.9060  
47.7254  
44.4276

200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

f1 (ppm)



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



M0762-8  
AJ-II-4e

160.9933  
160.0371  
158.5642  
158.1022

132.7400  
132.7119

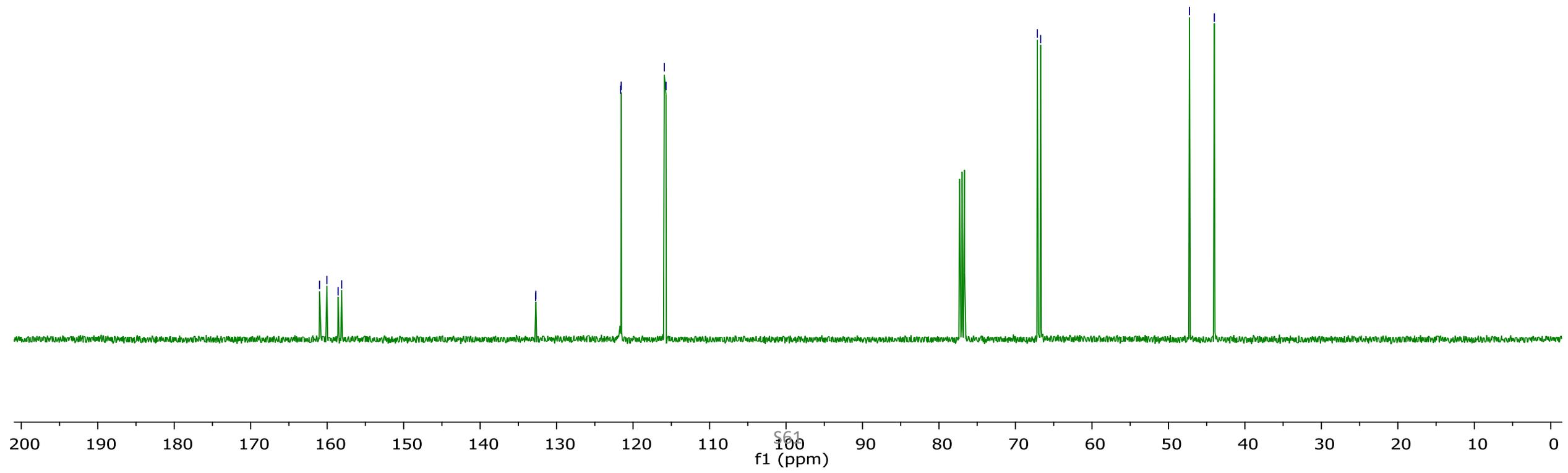
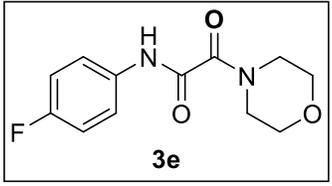
121.6330  
121.5526

115.9208  
115.6973

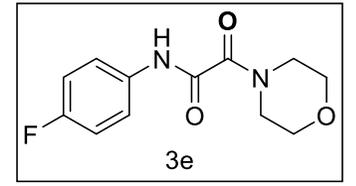
67.1448  
66.7056

47.2482  
44.0000

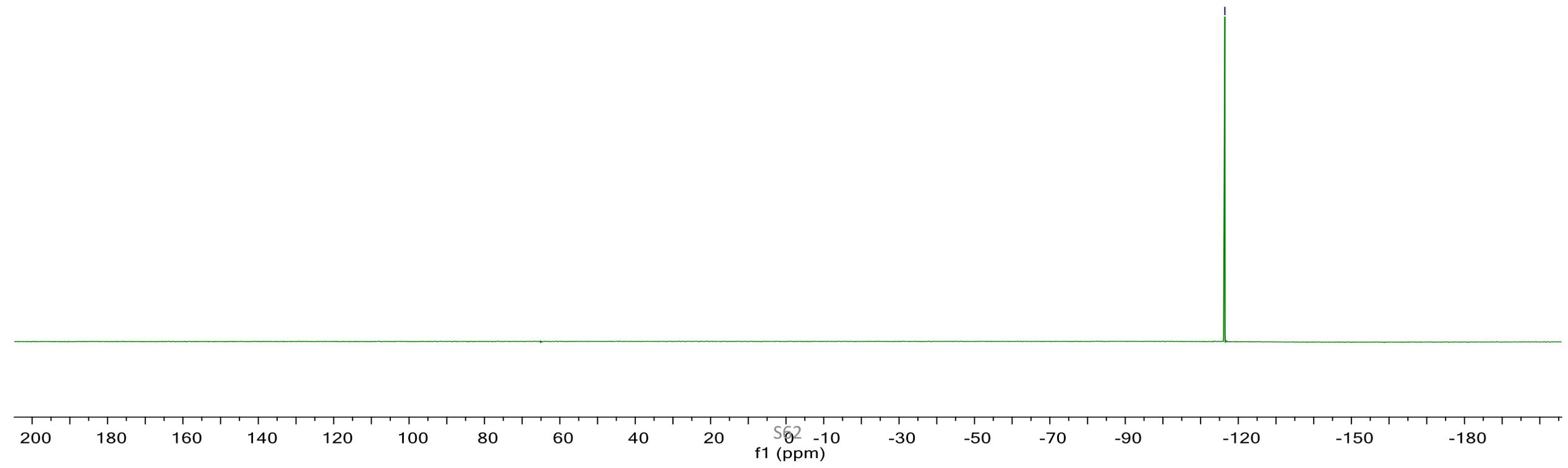
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



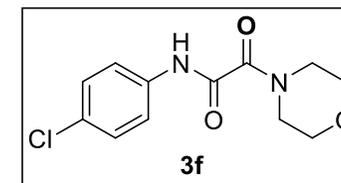
**$^{19}\text{F}\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 376 MHz**



— -116.4308



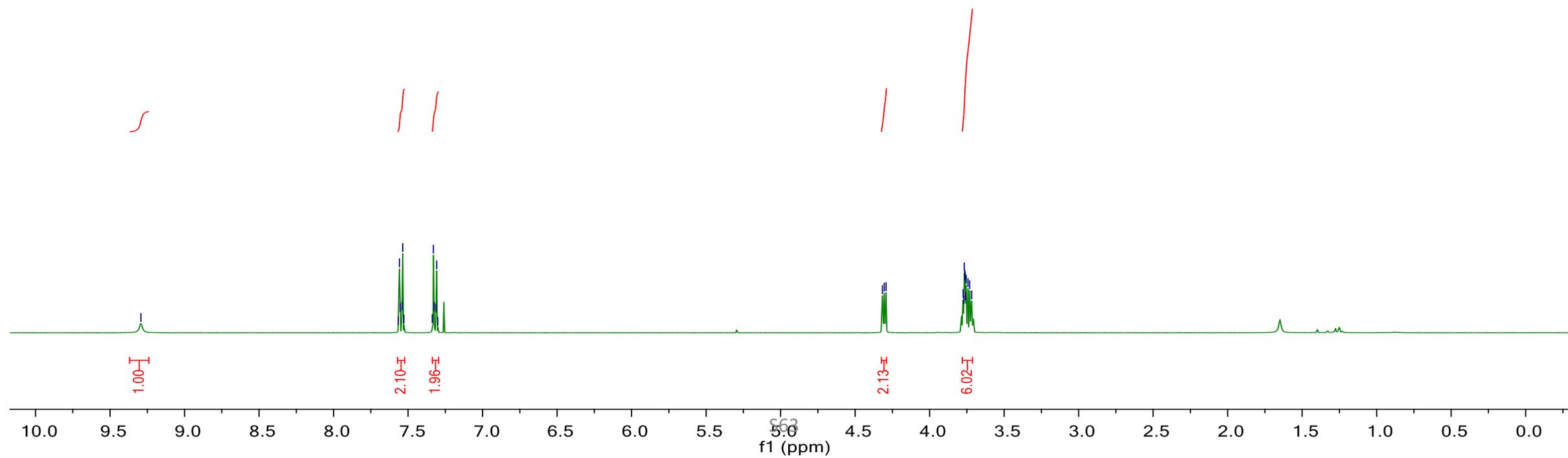
**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**



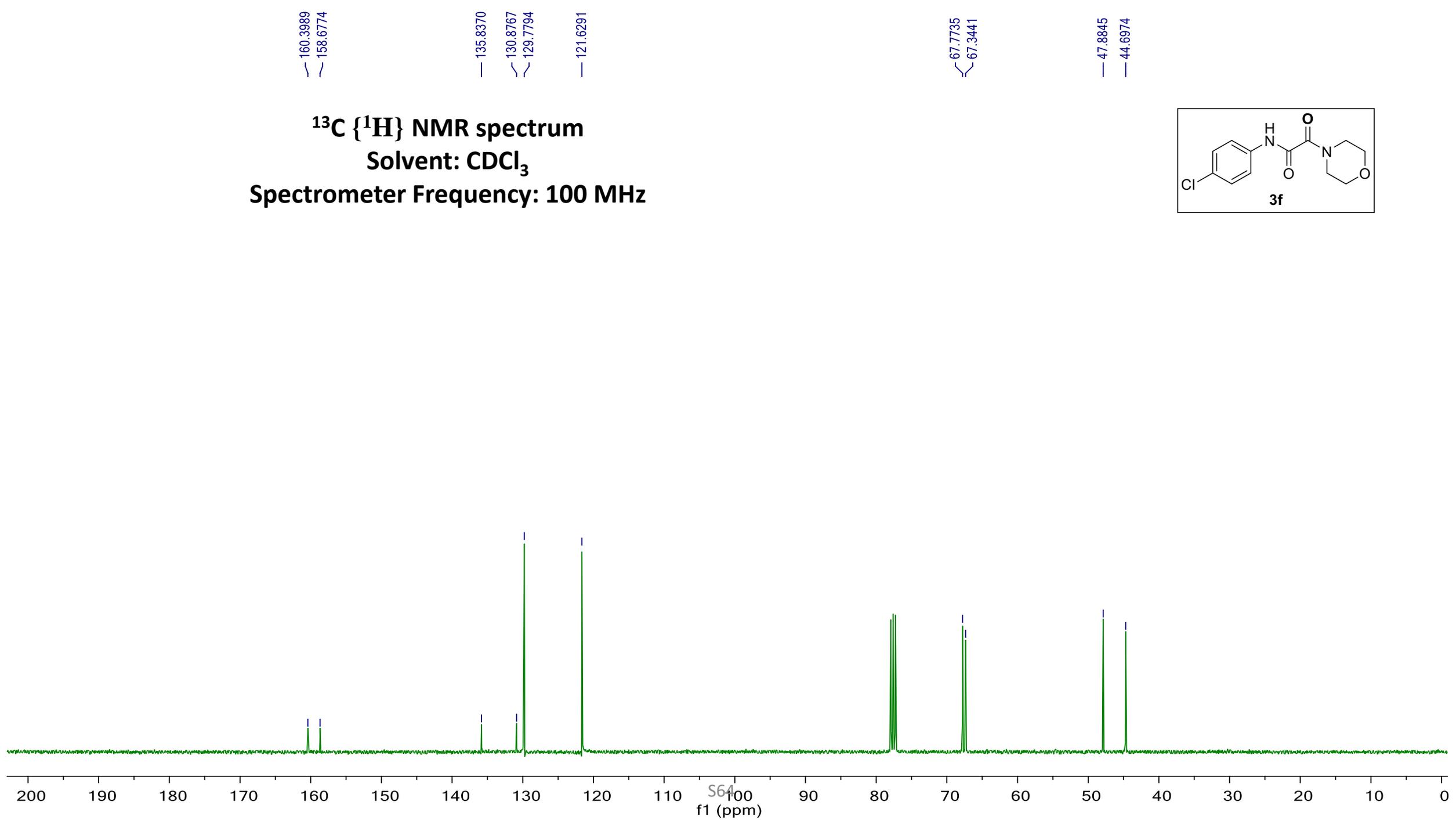
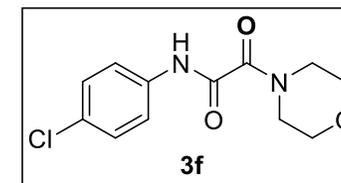
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7.5582  
7.5530  
7.5414  
7.5360  
7.5286  
7.3378  
7.3303  
7.3250  
7.3134  
7.3081  
7.3006

4.3164  
4.3045  
4.2922  
3.7748  
3.7702  
3.7672  
3.7631  
3.7614  
3.7585  
3.7550  
3.7430  
3.7314  
3.7181

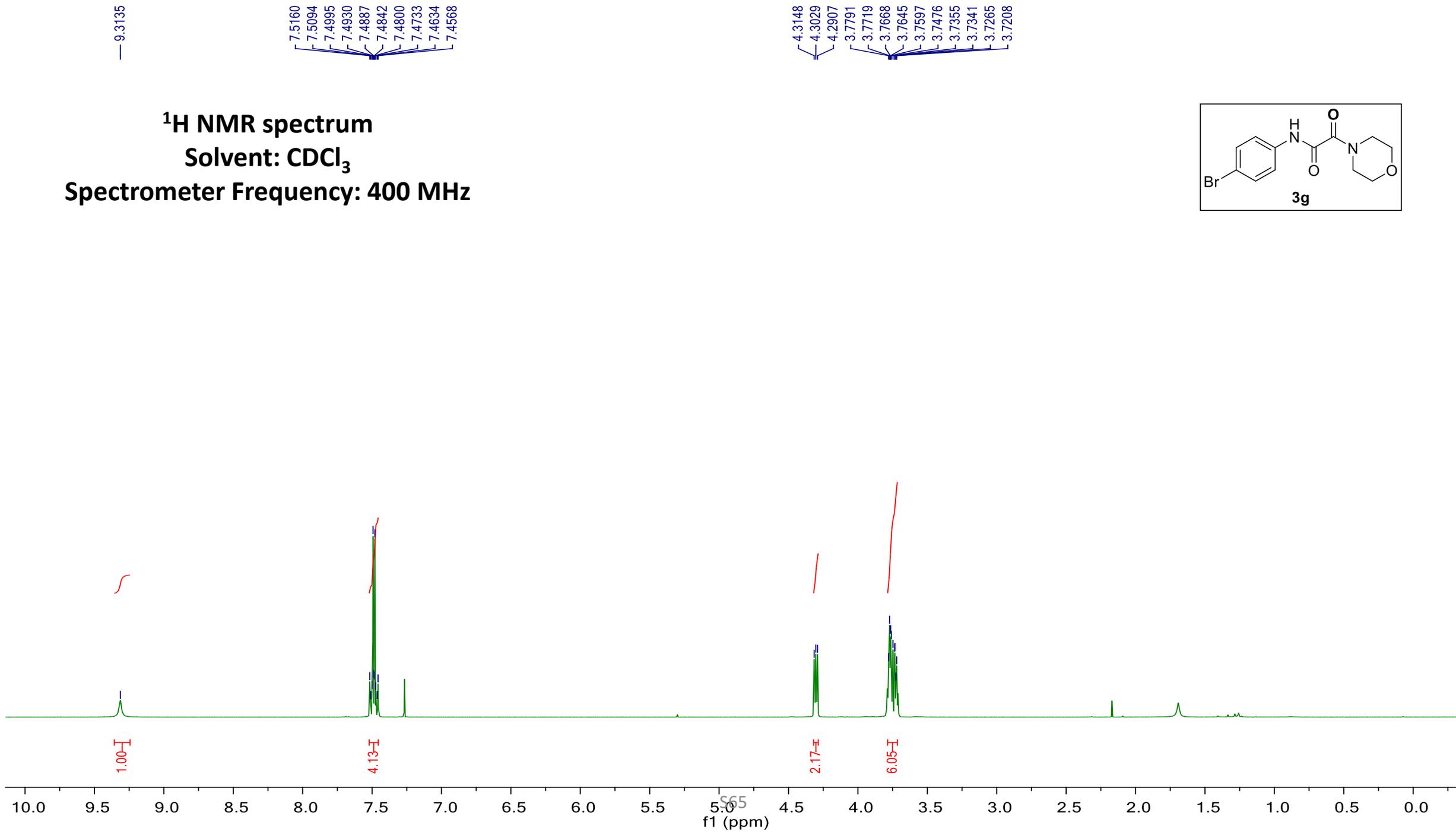
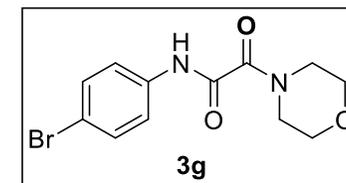
9.2930



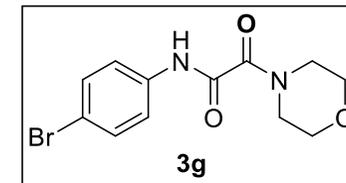
**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



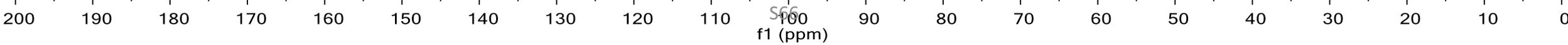
160.4014  
158.6928

136.3423  
132.7190

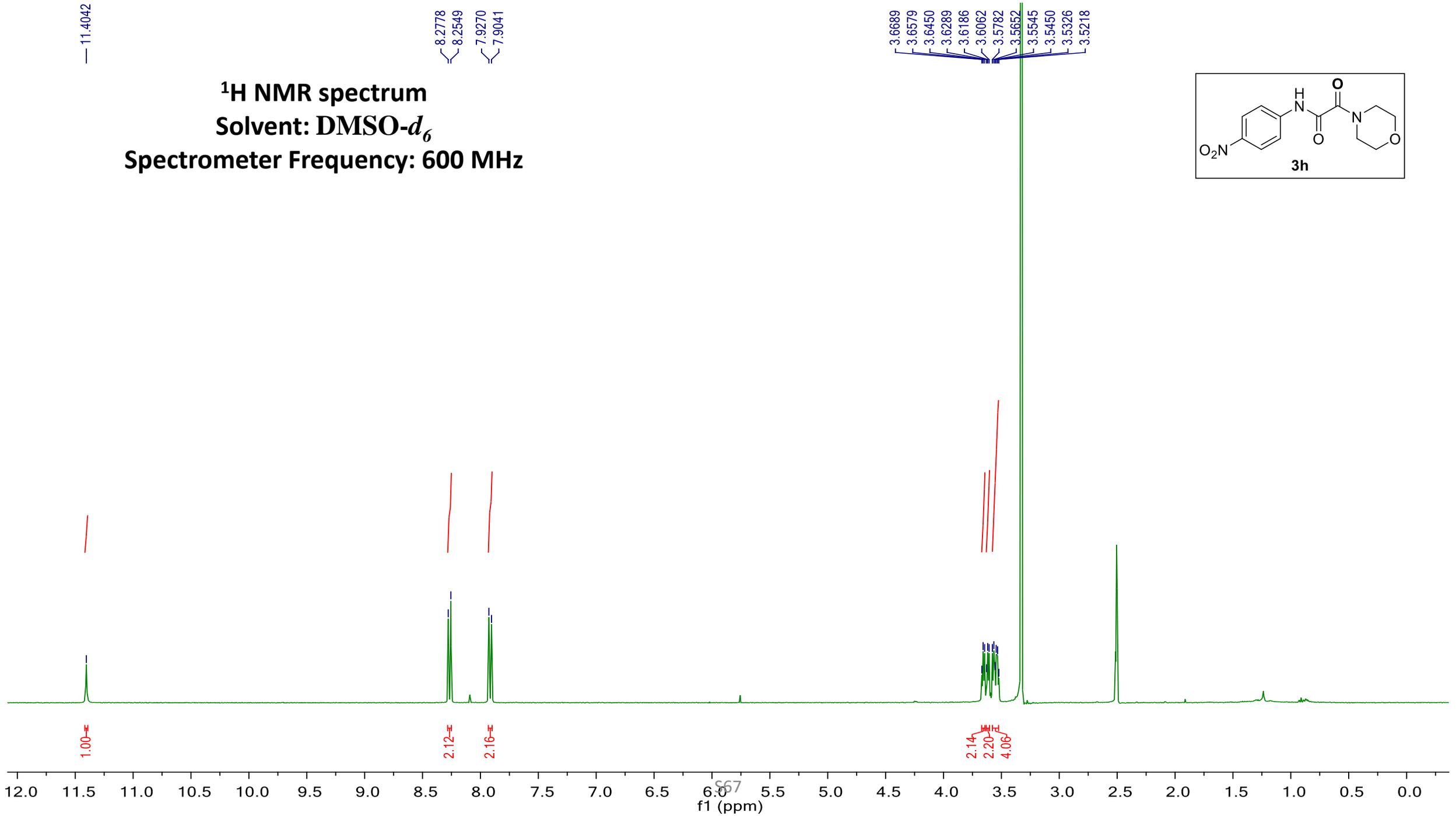
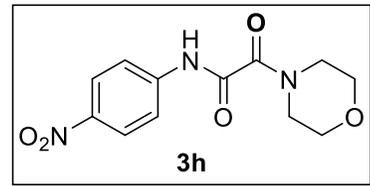
121.9411  
118.5319

67.7589  
67.3291

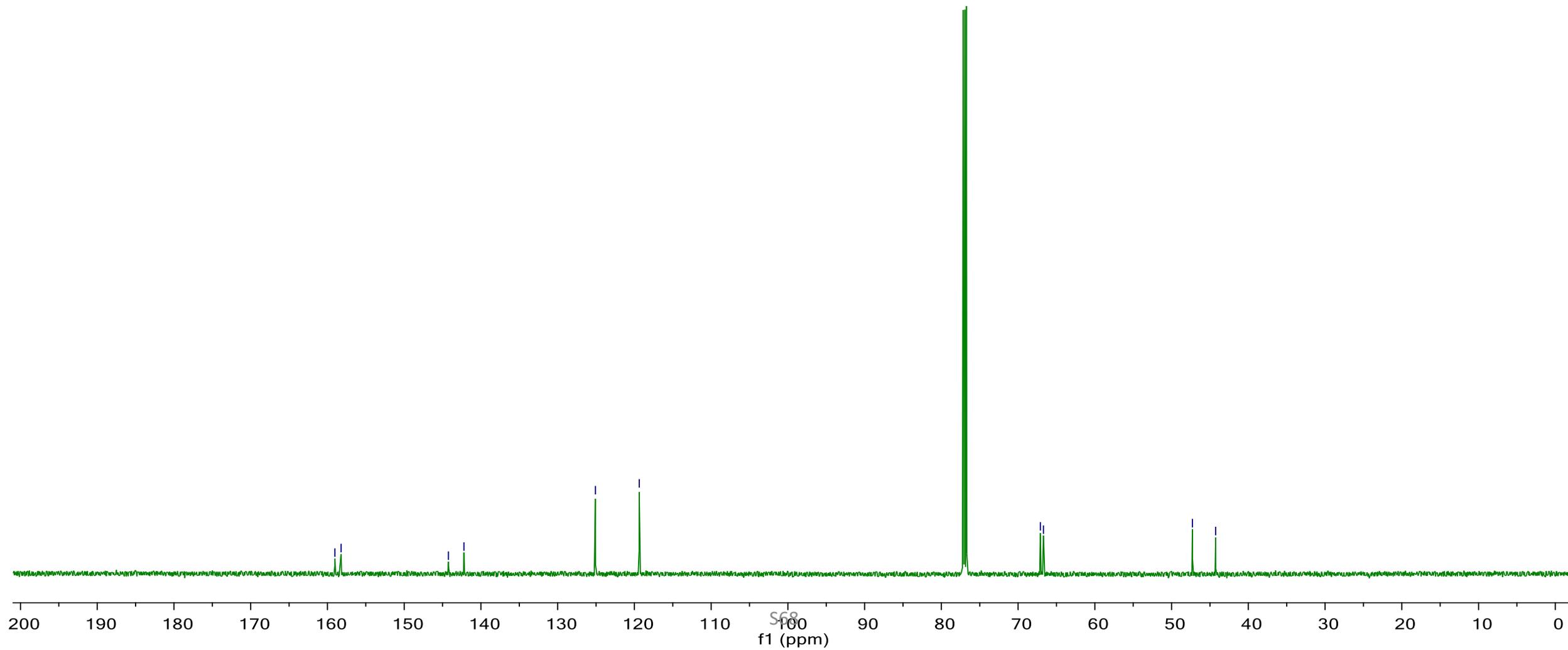
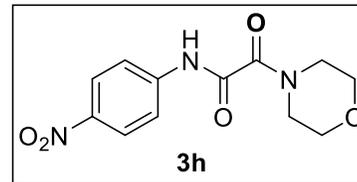
47.8738  
44.6822



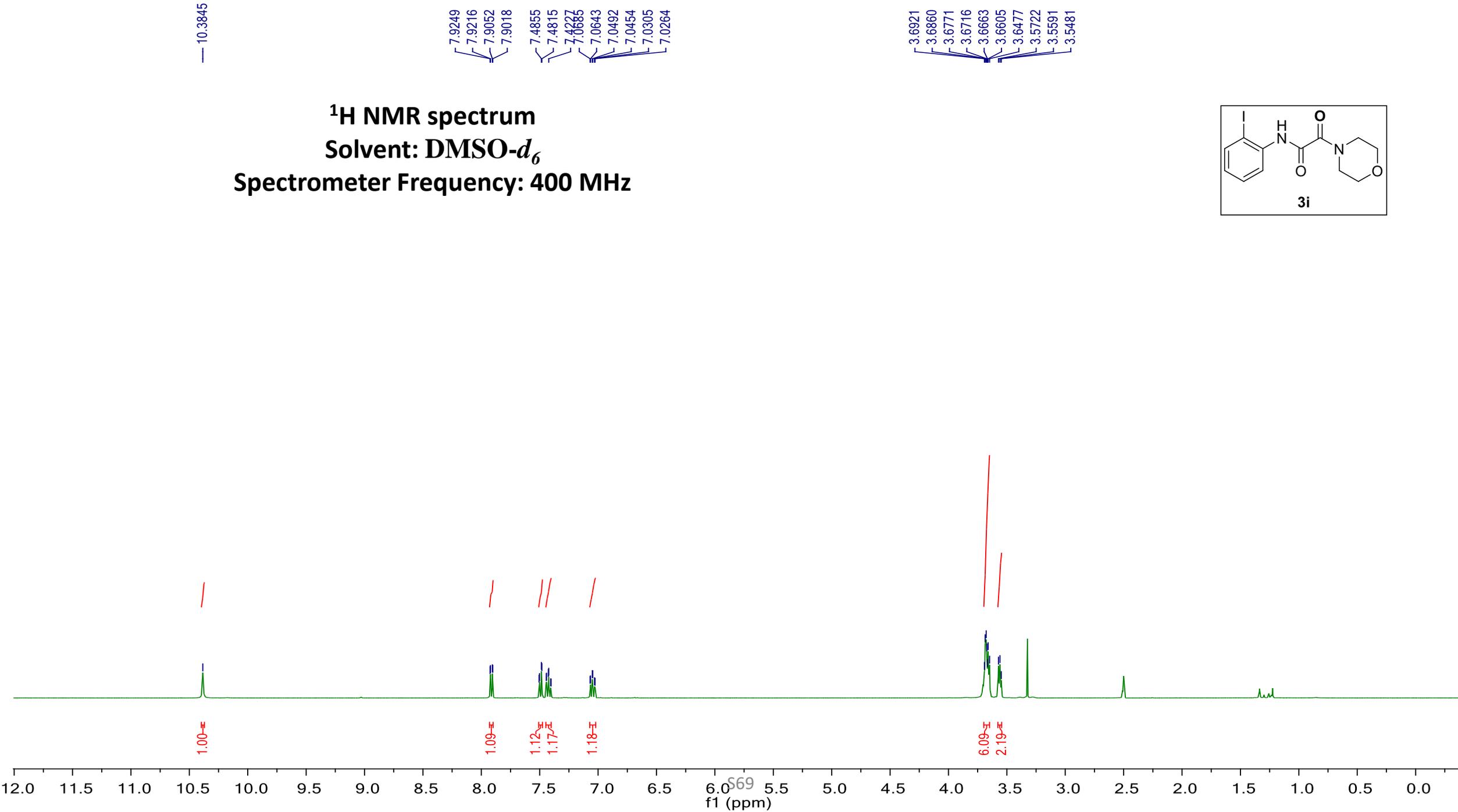
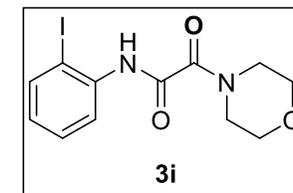
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 600 MHz**



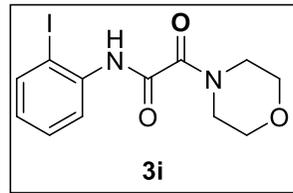
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



162.1440  
161.6694

139.1034  
138.0219

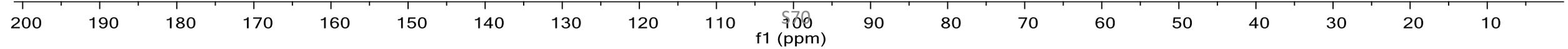
128.8649  
128.3850  
126.9992

96.2517

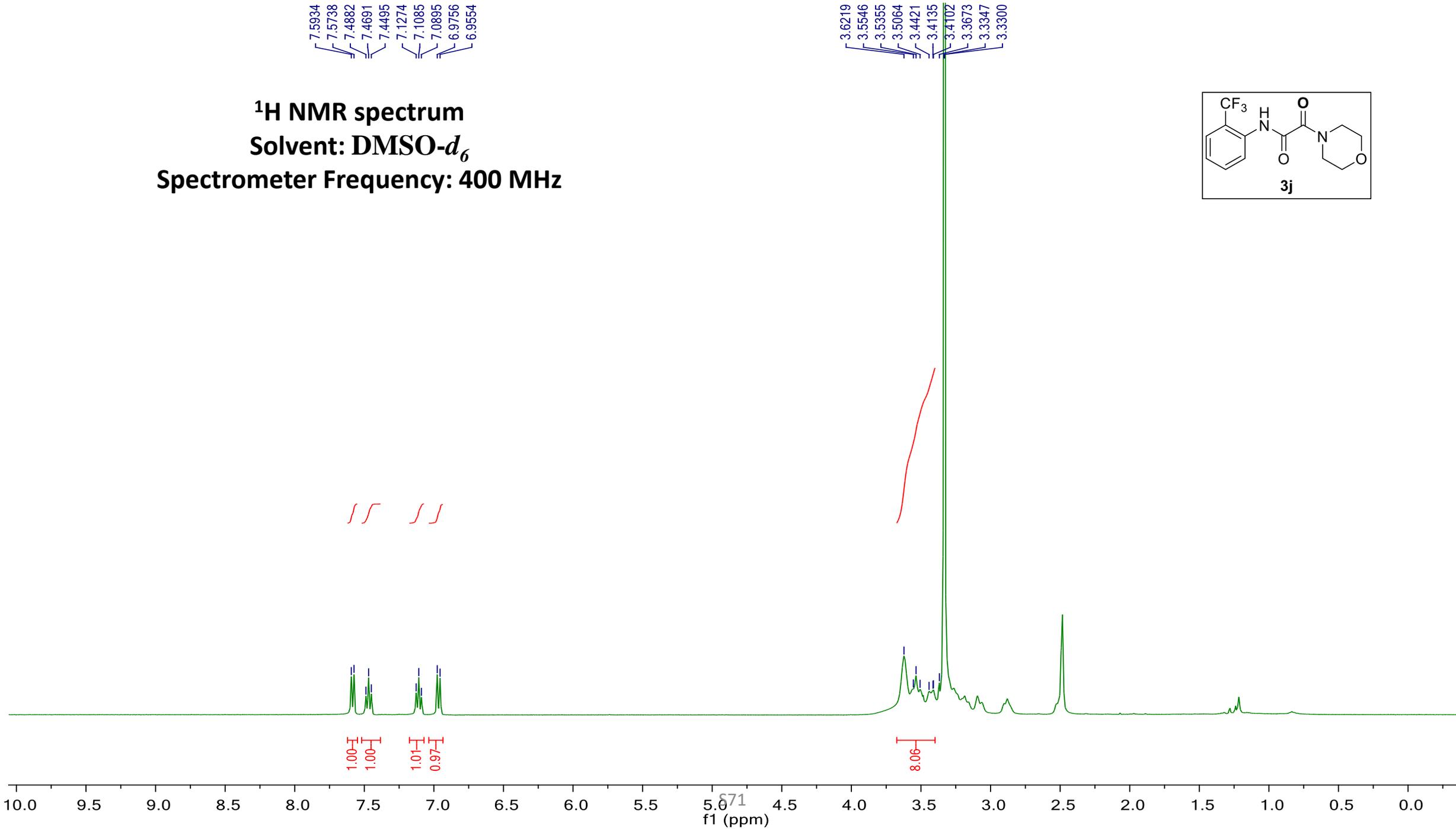
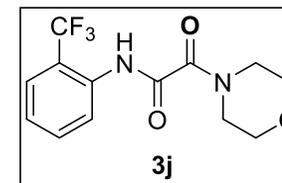
66.2986  
65.8033

46.2936

41.5955

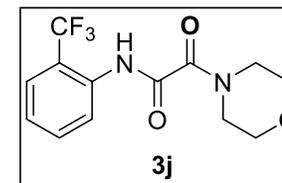


**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 400 MHz**

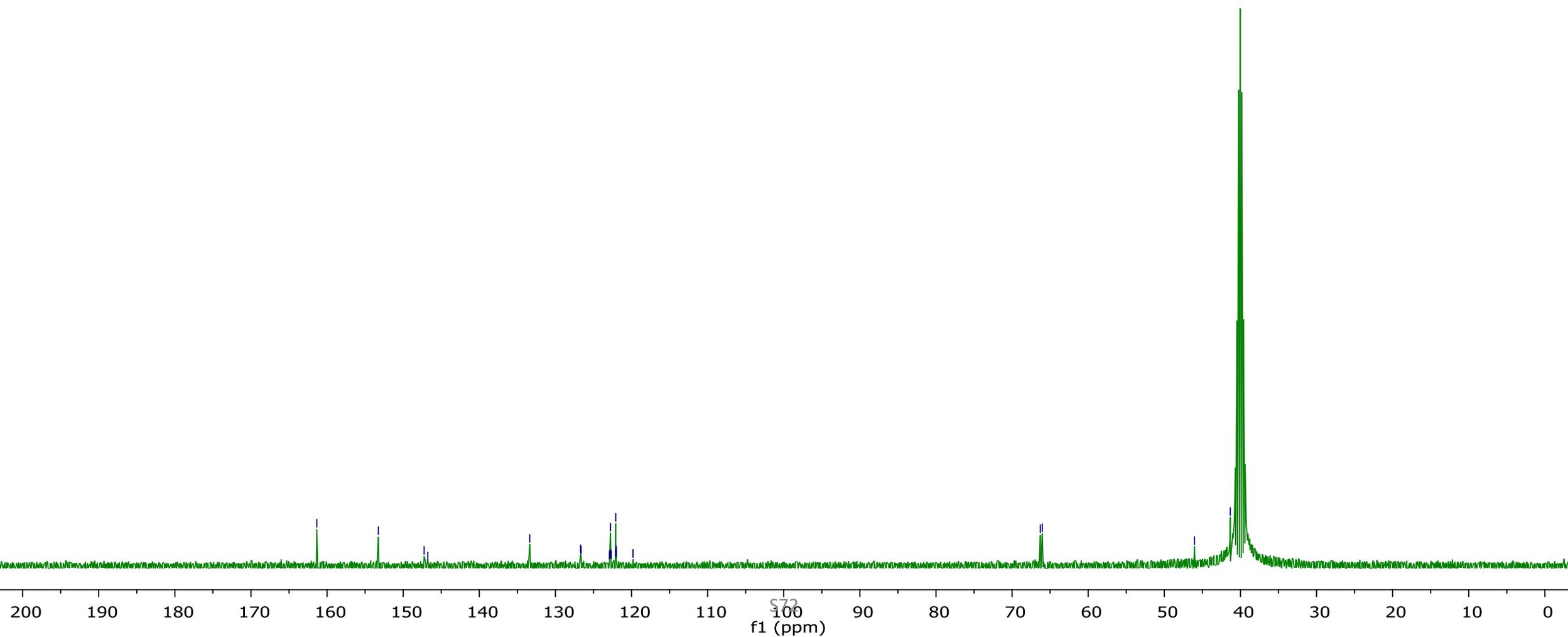


4j-aj-cf3 - dmsol  
single pulse decoupled gated NOE

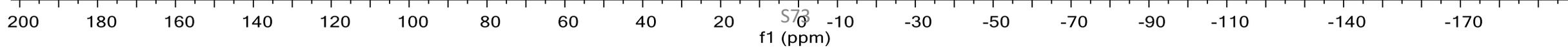
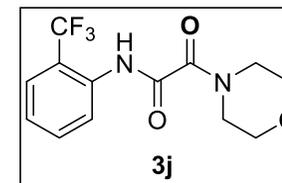
**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



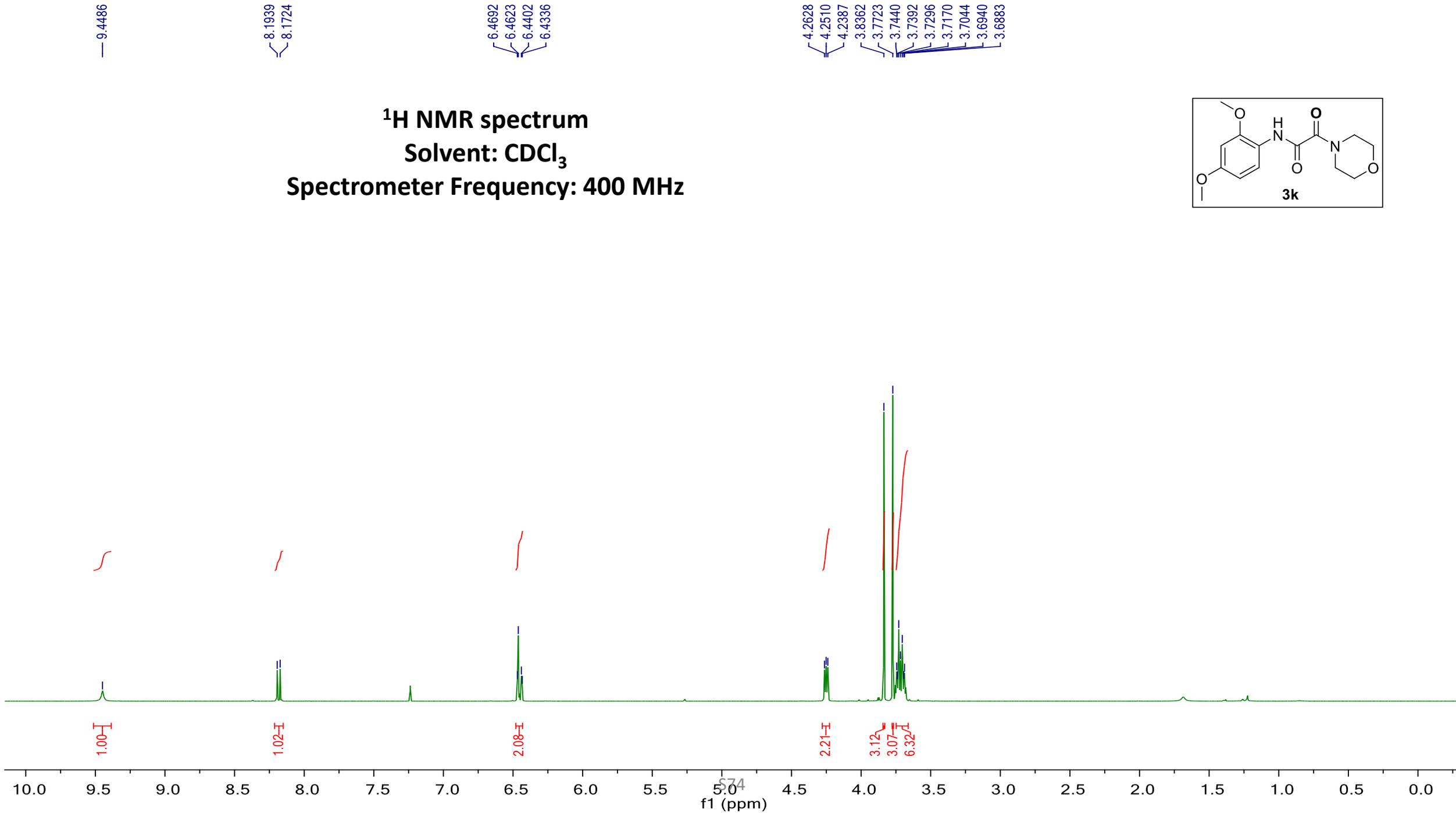
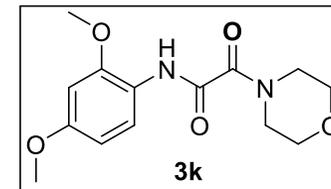
161.3498  
153.2621  
147.2557  
146.7707  
133.3786  
126.6898  
126.6303  
122.8963  
122.7951  
122.7669  
122.7291  
122.6666  
122.0981  
122.0714  
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122.0053  
121.9838  
119.8053  
66.2906  
66.0352  
46.0353  
41.3355



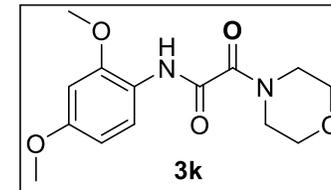
**$^{19}\text{F}\{^1\text{H}\}$  NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 376 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



160.6824  
157.8578  
157.4170  
150.1147

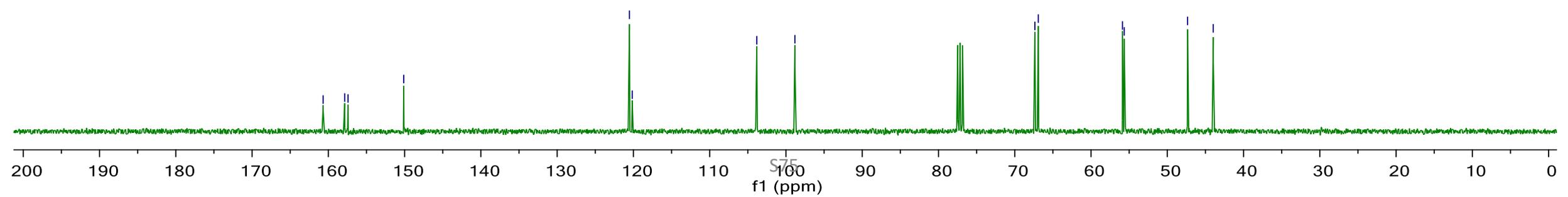
120.5186  
120.1545

103.8194  
98.8274

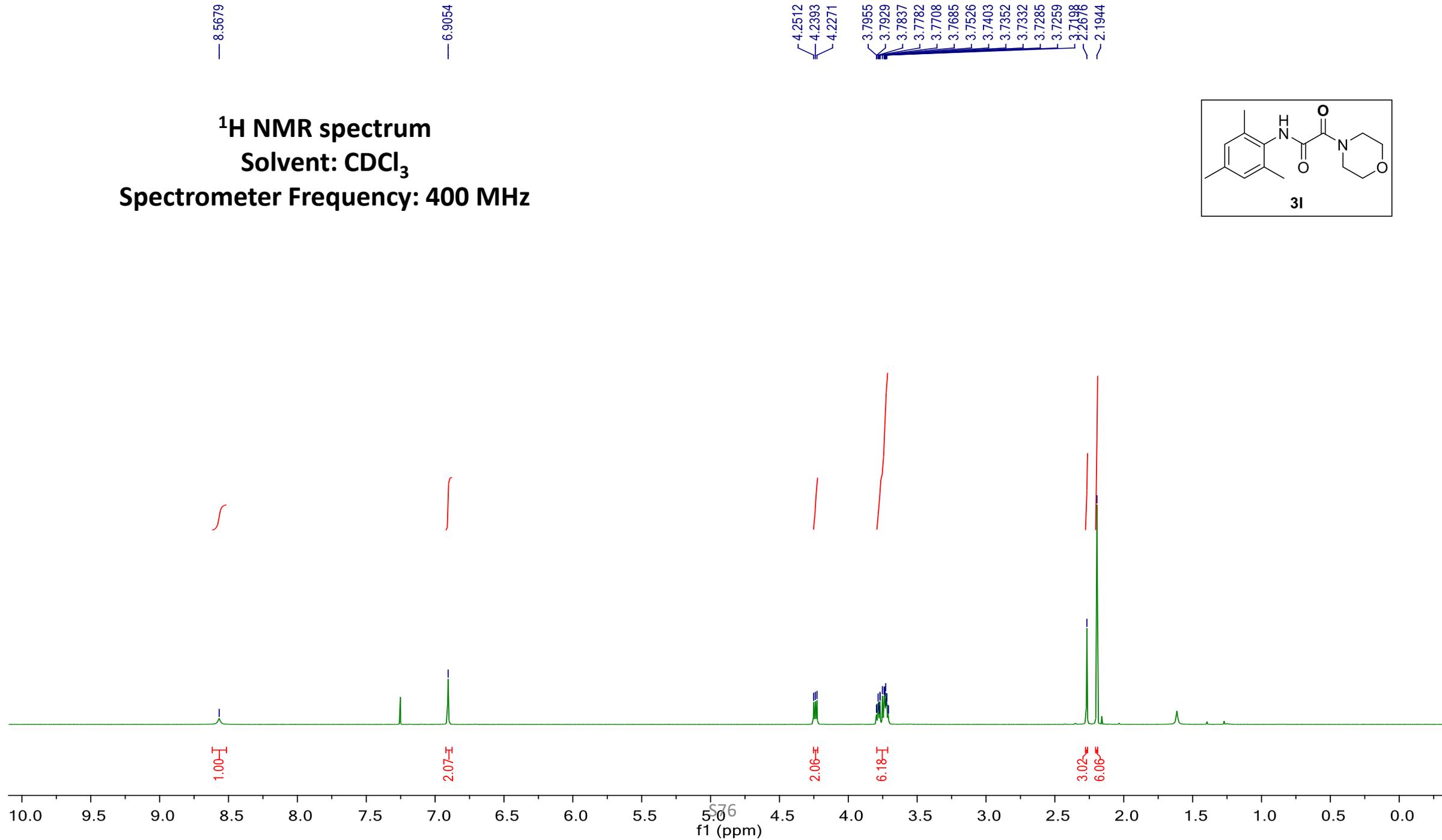
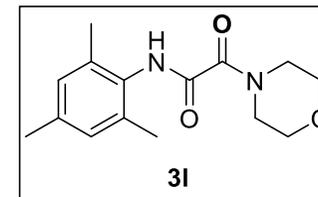
67.3579  
66.9082

55.8714  
55.6510

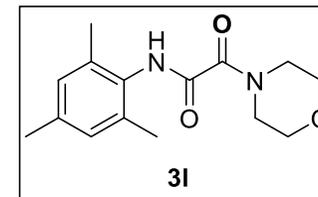
47.3336  
43.9708



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



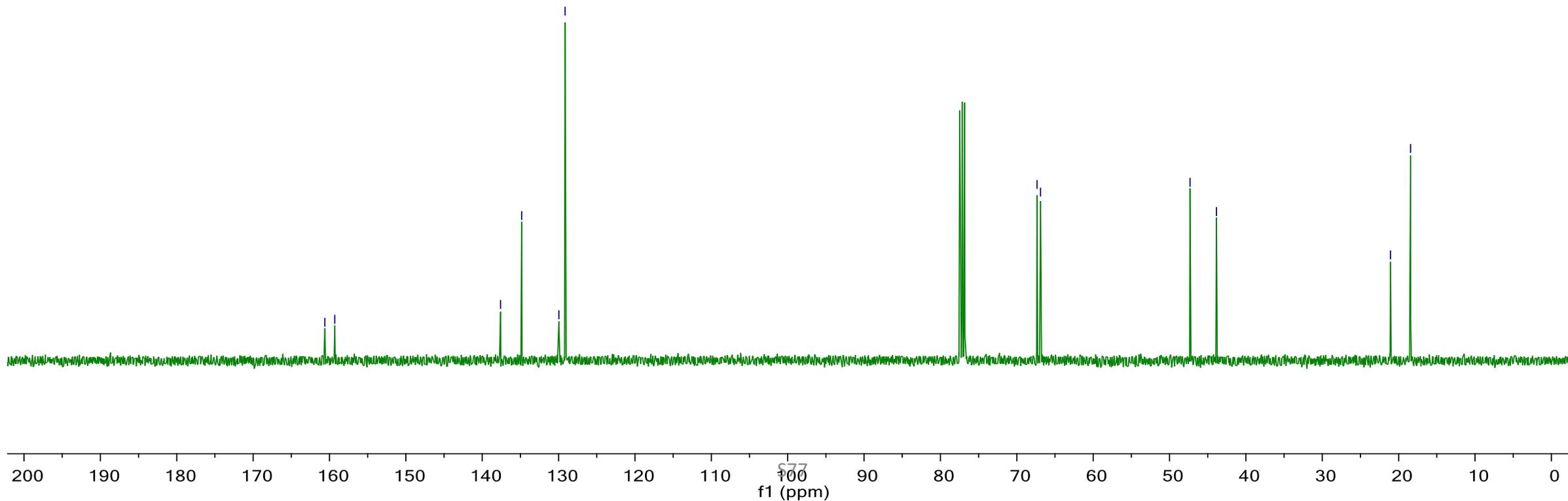
160.6152  
159.3051

137.5984  
134.8369  
129.9635  
129.1506

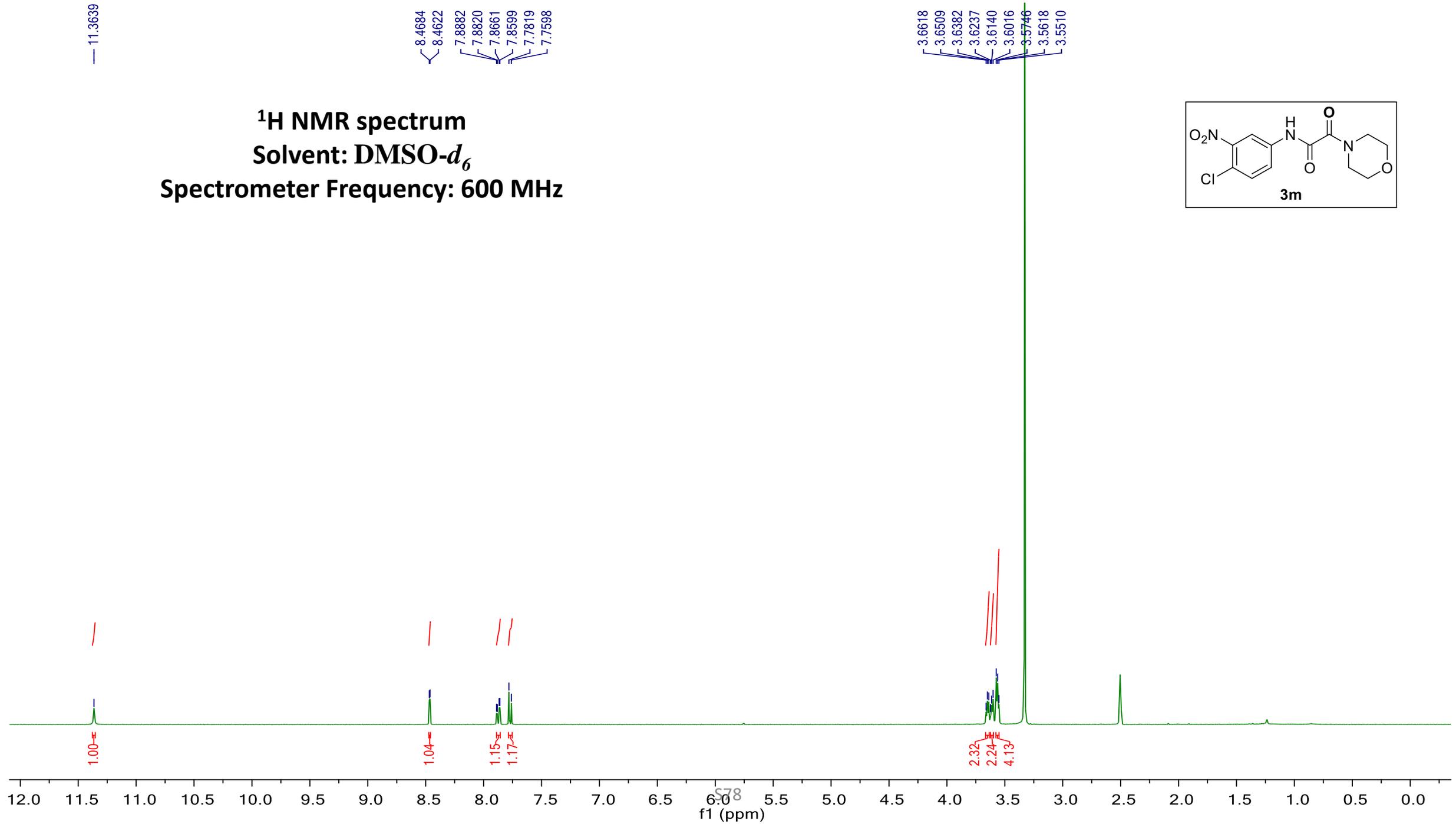
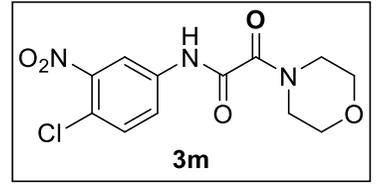
67.3537  
66.8883

47.3150  
43.8565

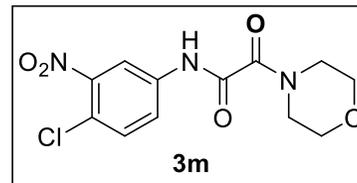
21.0640  
18.4363



**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 600 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 600 MHz**



158.9383  
158.2549

136.2284

132.2673

123.7750

122.4719

116.4260

67.1232

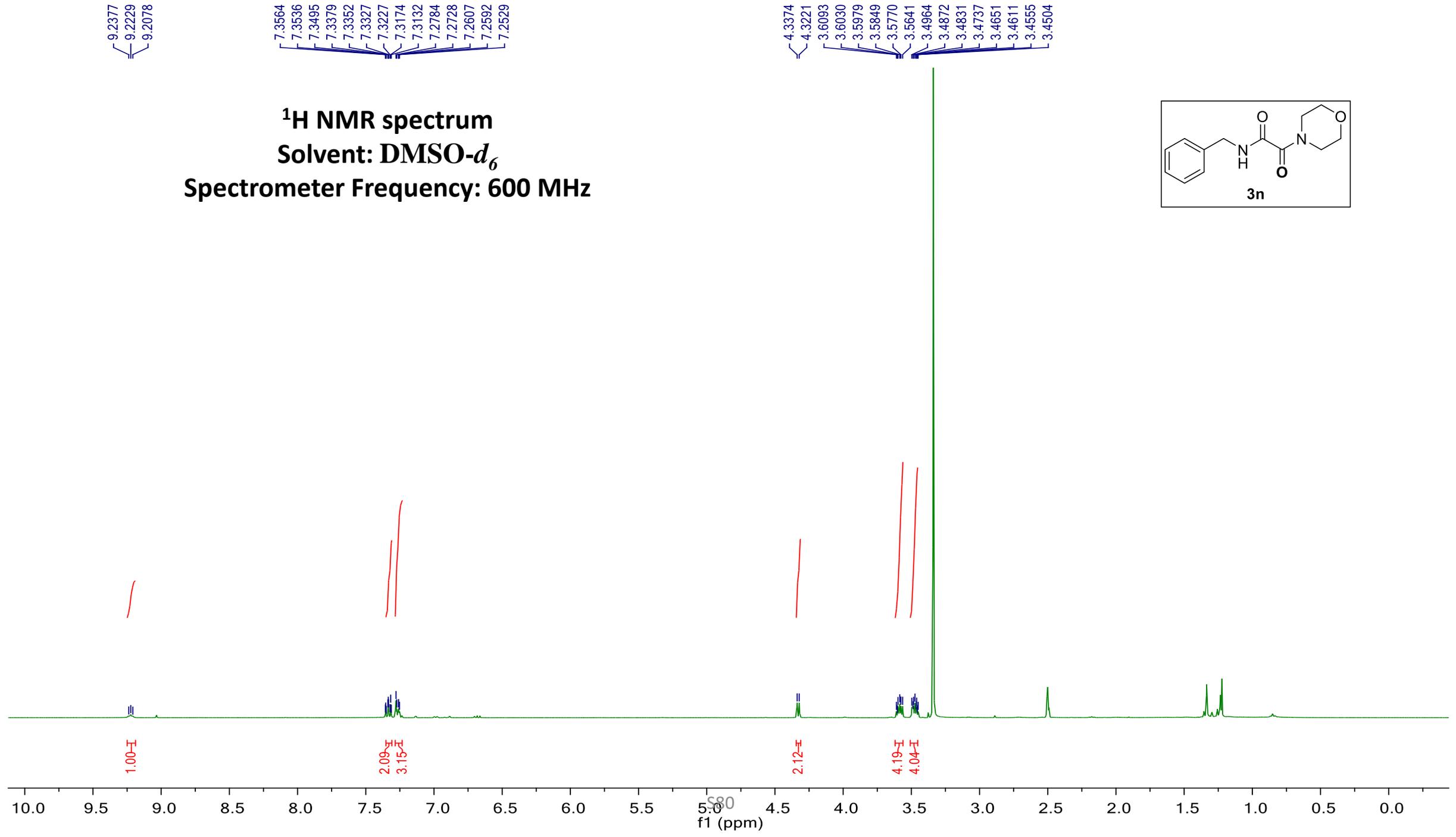
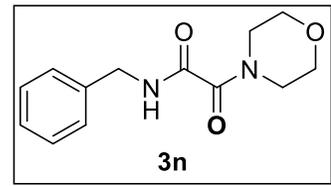
66.7151

47.3054

44.2968

579  
f1 (ppm)

**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 600 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 151 MHz**

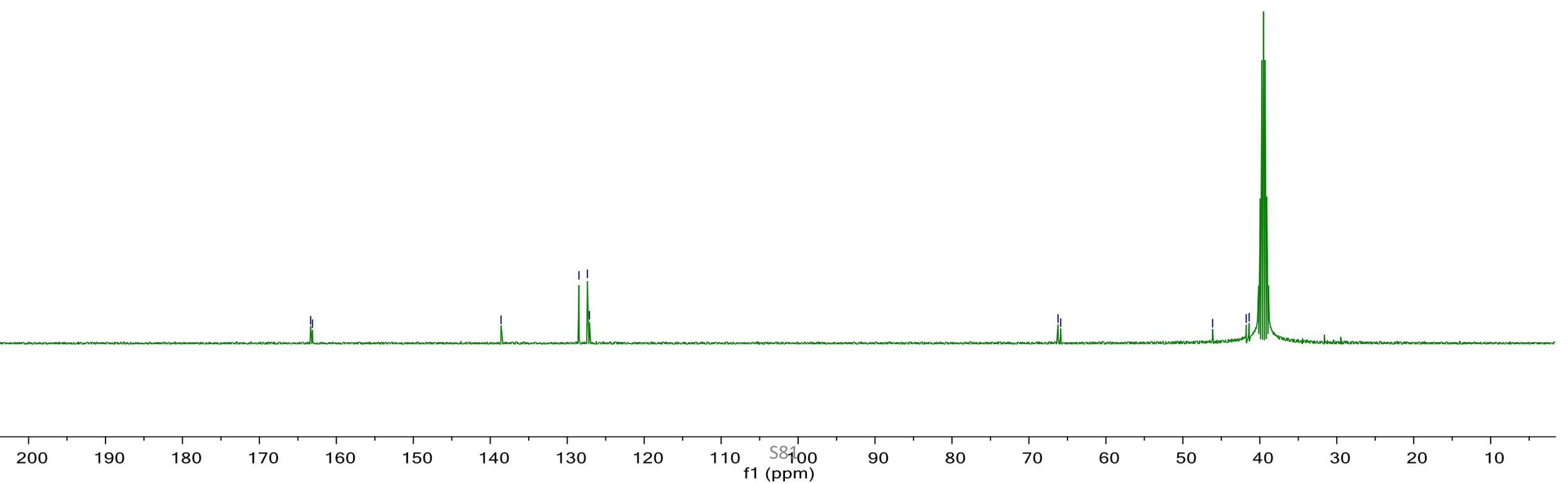
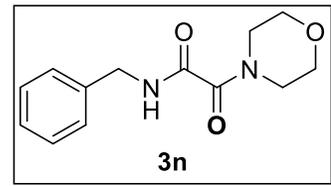
163.3382  
163.1074

138.6001

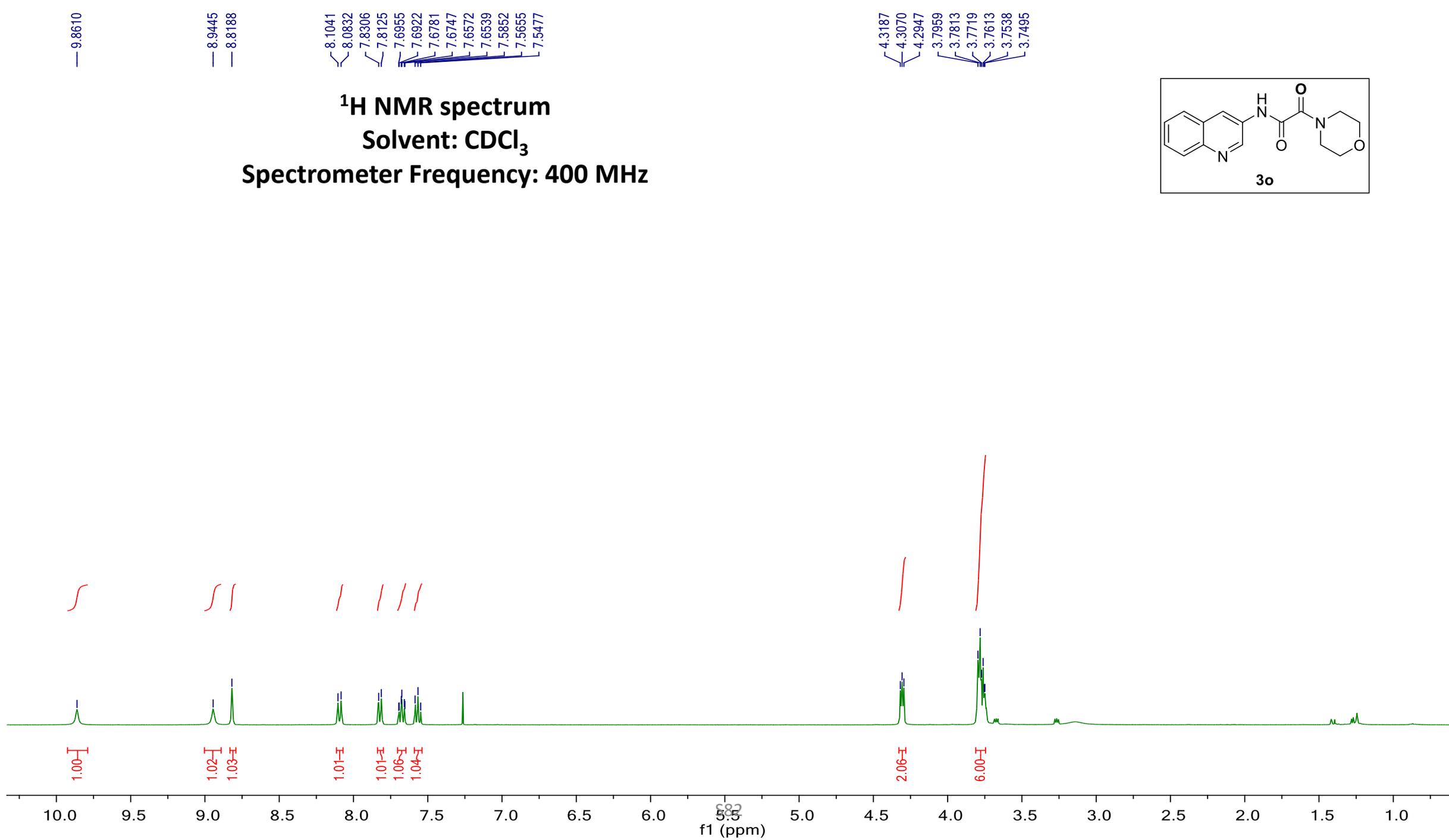
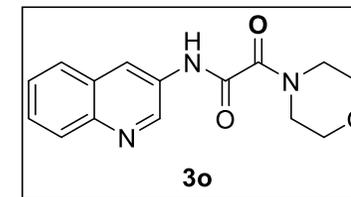
128.4767  
127.3793  
127.1136

66.2233  
65.8679

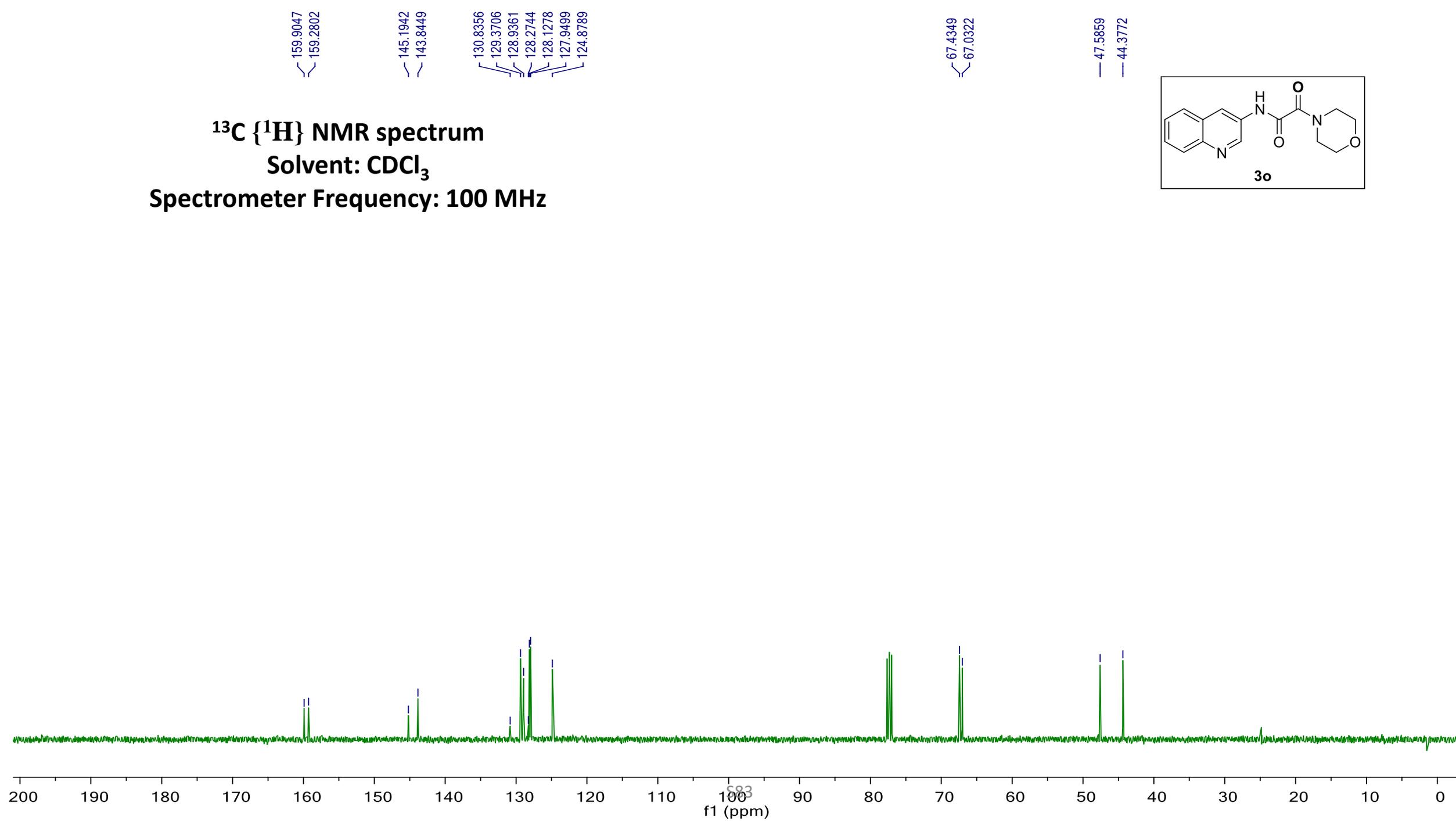
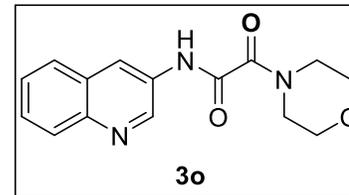
46.1315  
41.7685  
41.3879



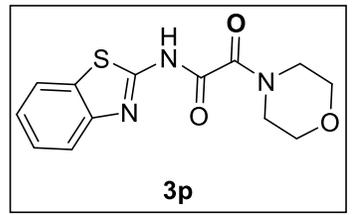
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

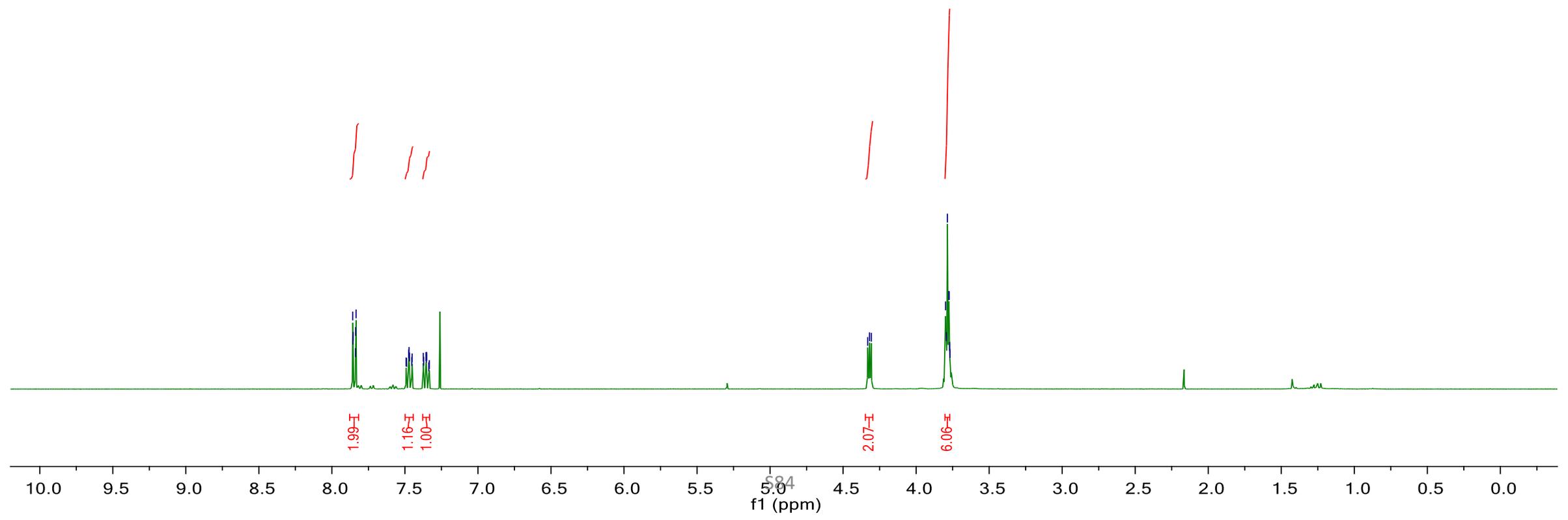


**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



7.8575  
7.8557  
7.8545  
7.8388  
7.8372  
7.8345  
7.4921  
7.4887  
7.4740  
7.4718  
7.4687  
7.4532  
7.4504  
7.3742  
7.3717  
7.3560  
7.3538  
7.3528  
7.3515  
7.3365  
7.3333

4.3312  
4.3192  
4.3069  
3.7983  
3.7928  
3.7858  
3.7760  
3.7746  
3.7708  
3.7682



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

158.2998  
157.7829  
156.1717

148.4960

132.1771

126.4914

124.4871

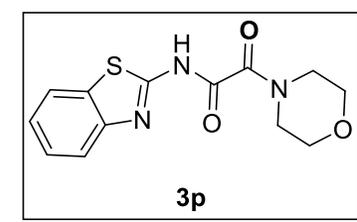
121.6049

121.4013

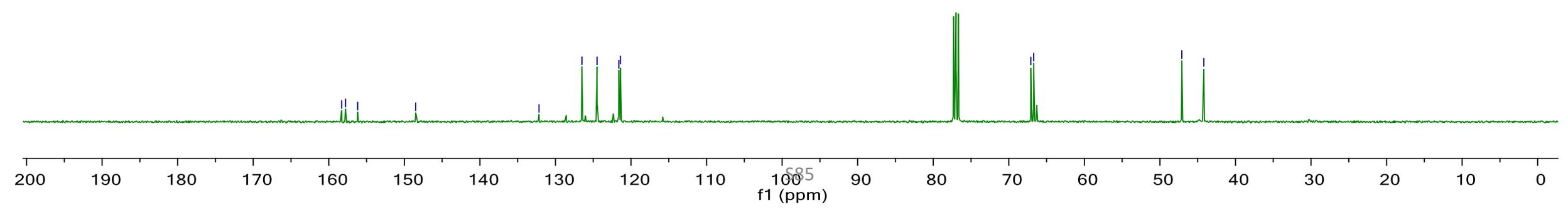
67.0780  
66.7057

47.1029

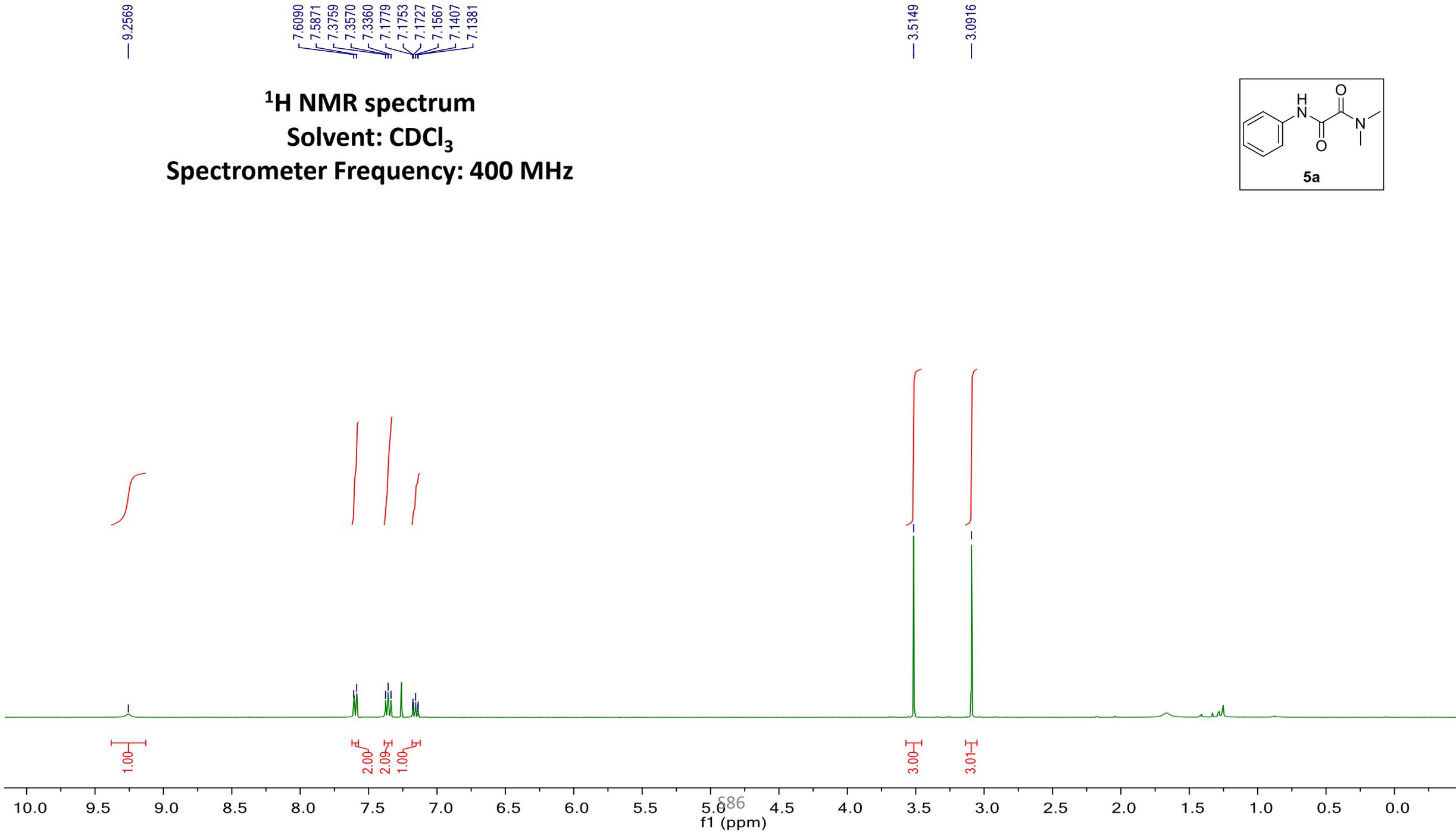
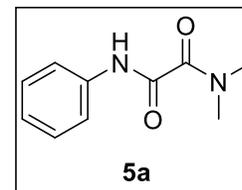
44.1859



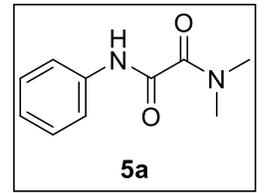
**3p**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**

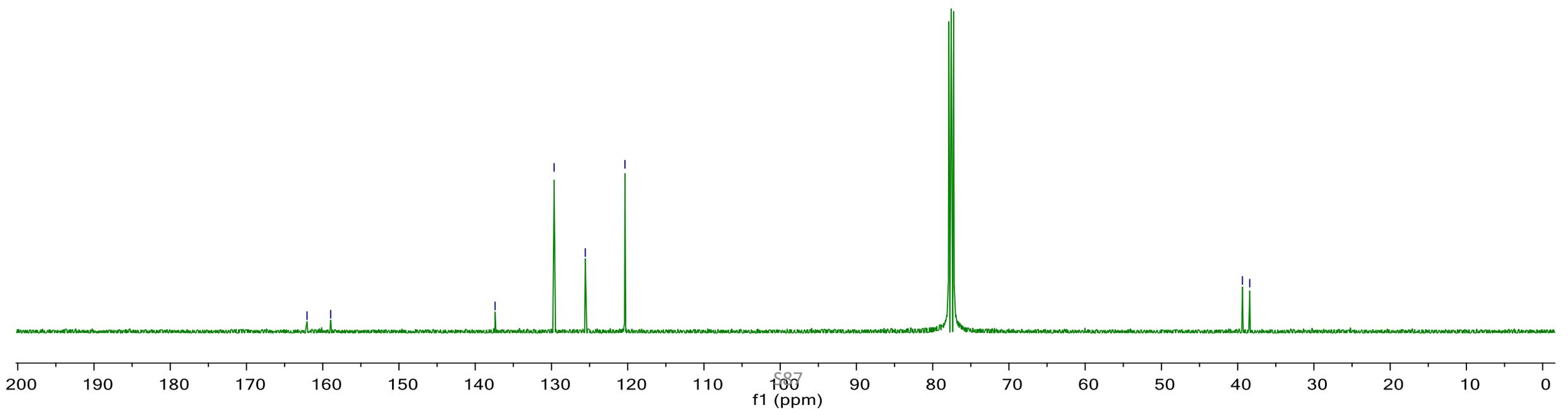


**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

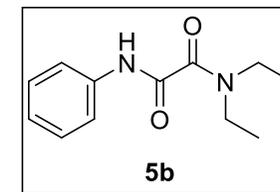


162.0643  
158.9564  
137.3887  
129.6581  
125.5666  
120.3612

39.3777  
38.4120



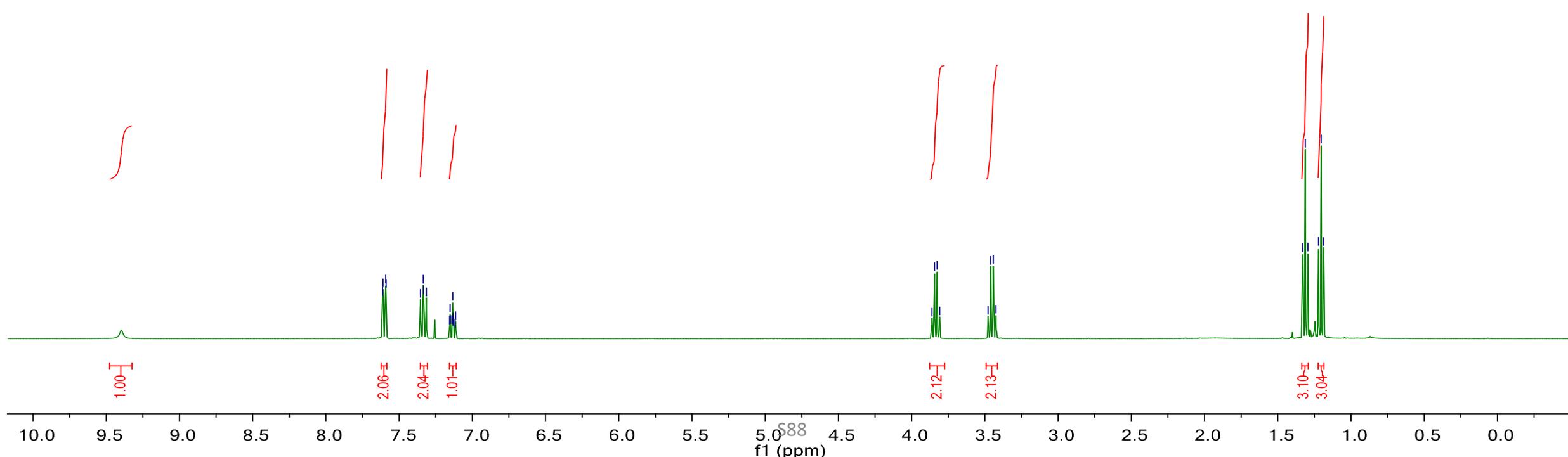
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



7.6134  
7.6104  
7.5916  
7.5890  
7.3541  
7.3353  
7.3331  
7.3141  
7.1549  
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7.1316  
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7.1177  
7.1148

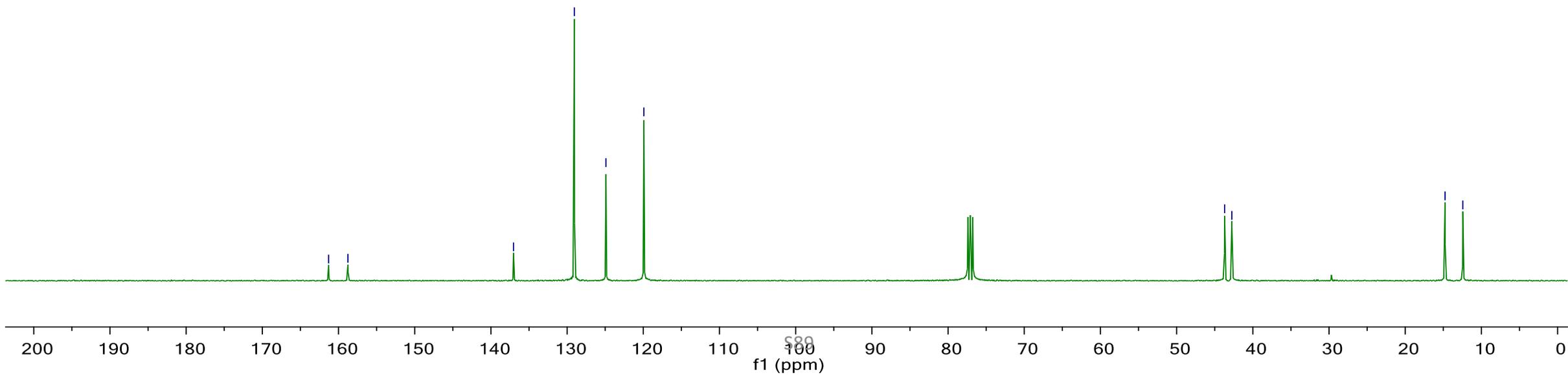
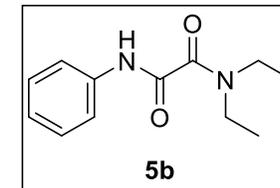
3.8616  
3.8440  
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3.4783  
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1.3297  
1.3121  
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1.2218  
1.2039  
1.1861

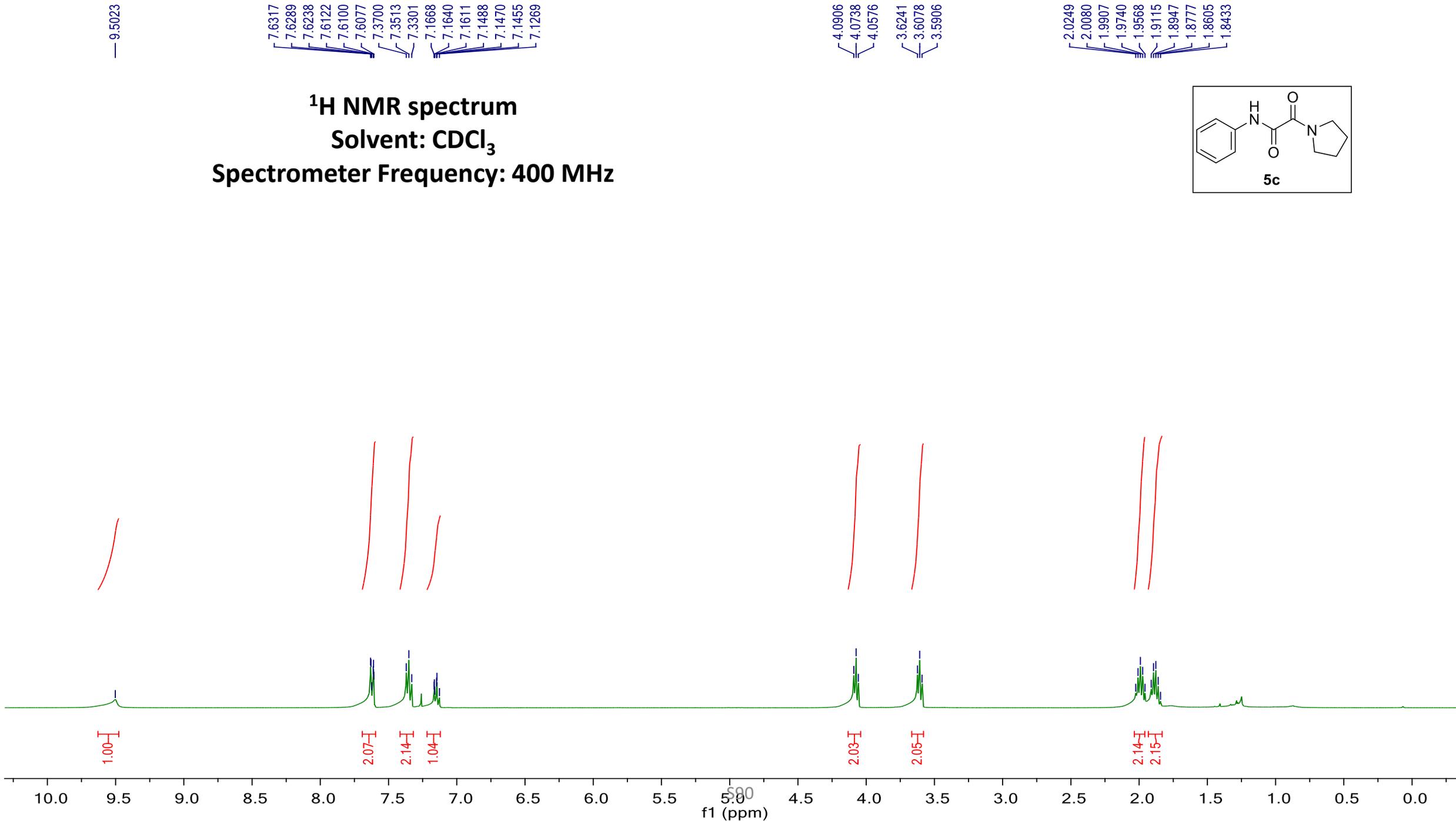
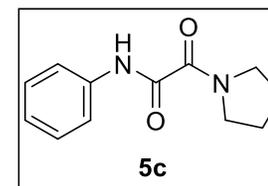


5.088  
f1 (ppm)

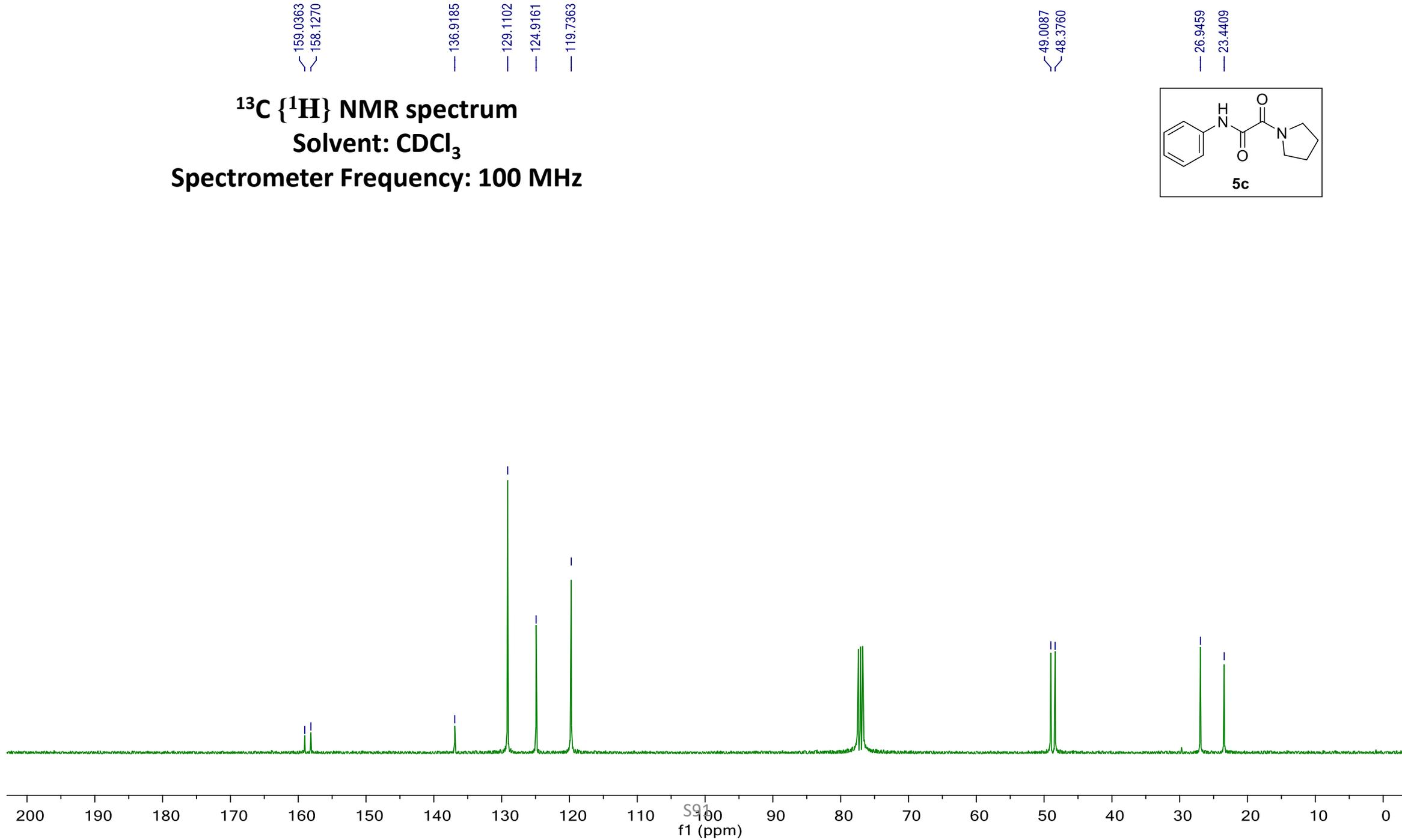
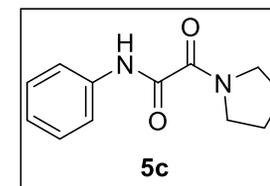
**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



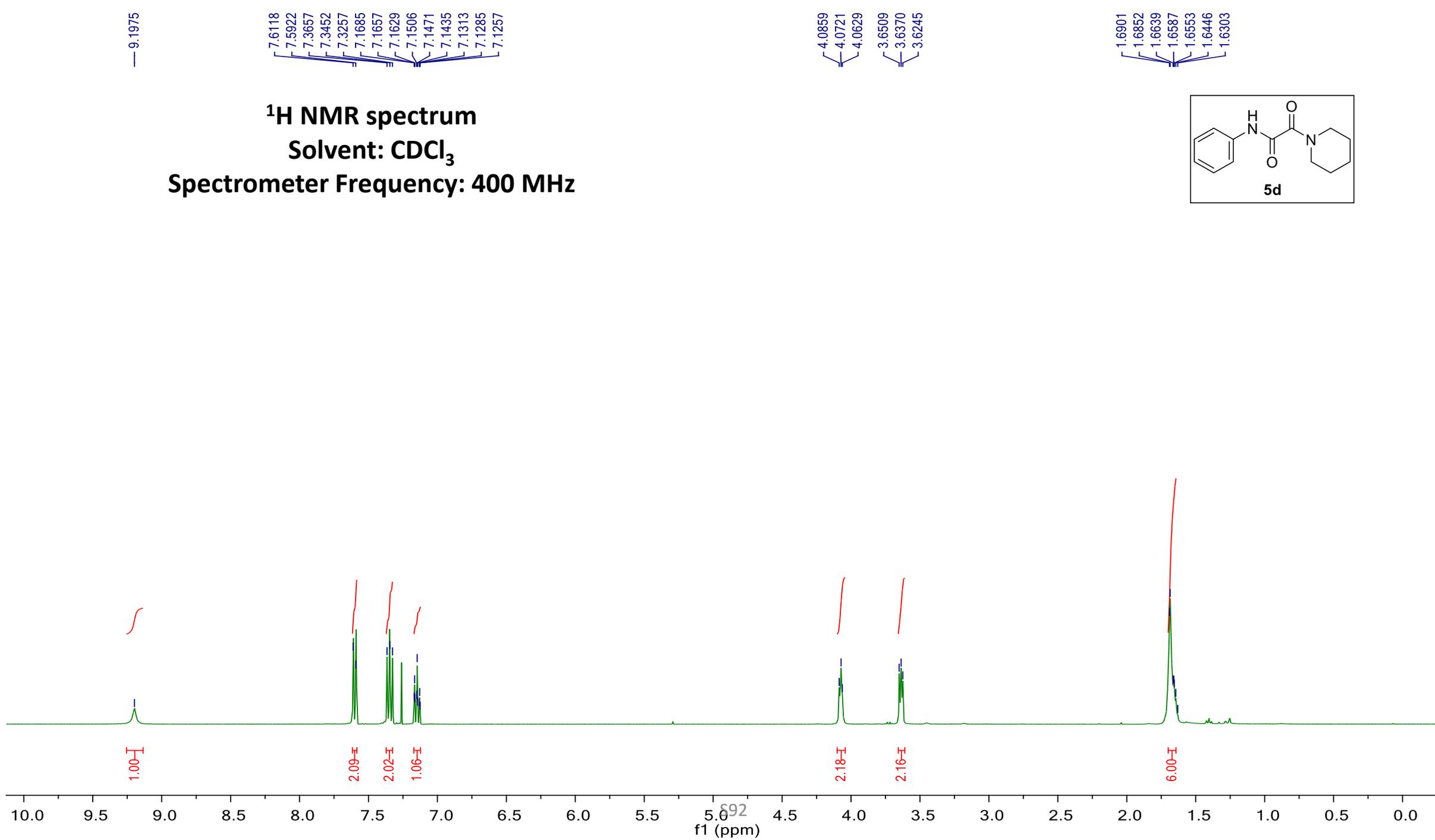
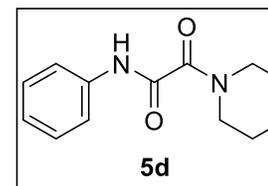
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



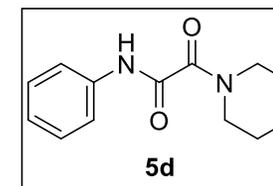
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



161.0411  
159.4409

137.3120

129.3827

125.2762

120.1844

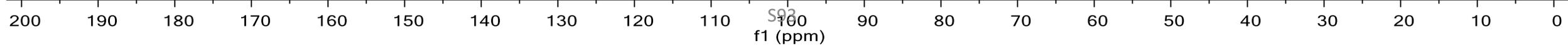
48.0478

45.1939

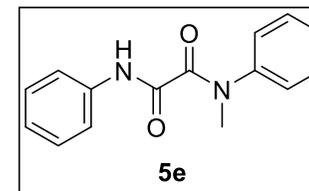
27.1560

26.1158

24.7798

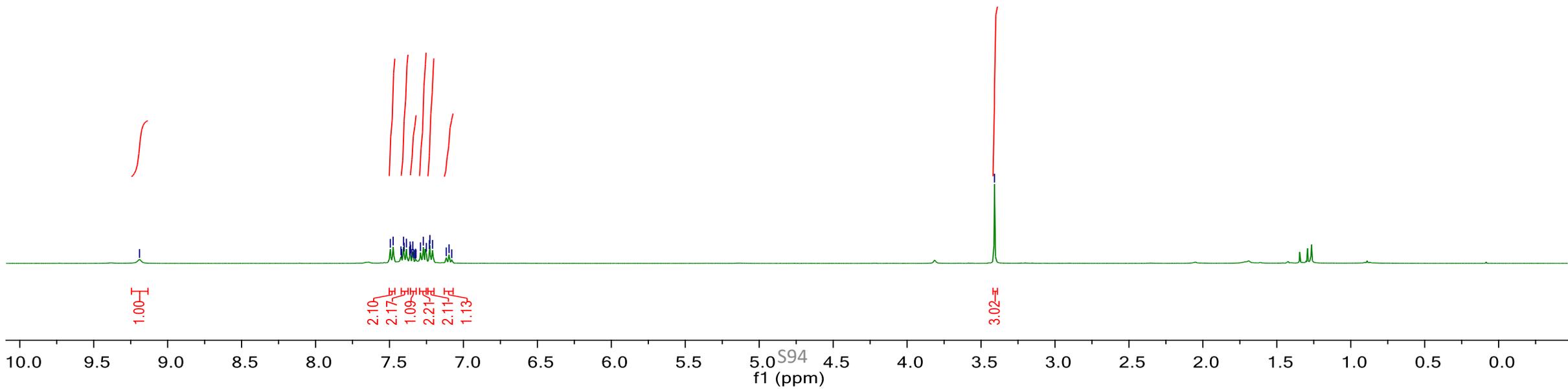


**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



9.1905  
7.4946  
7.4753  
7.4224  
7.4179  
7.4049  
7.4011  
7.3856  
7.3638  
7.3606  
7.3573  
7.3482  
7.3425  
7.3355  
7.3270  
7.3239  
7.3210  
7.2906  
7.2714  
7.2510  
7.2303  
7.2268  
7.2086  
7.1161  
7.0976  
7.0790

3.4092



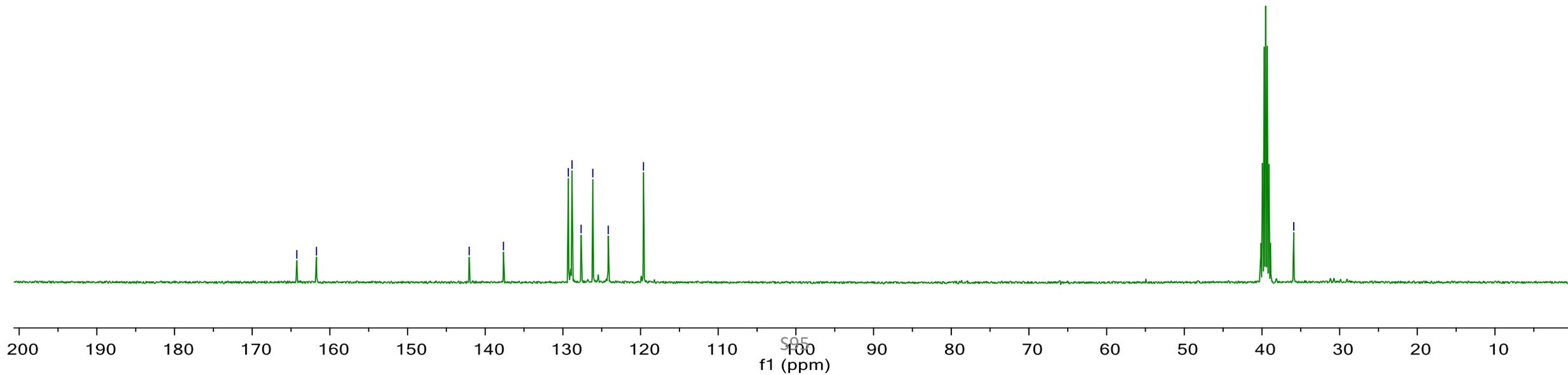
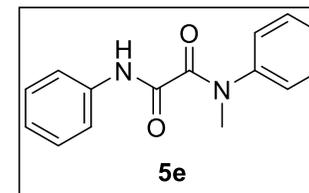
— 164.2591  
— 161.7309

— 142.0581  
— 137.6534

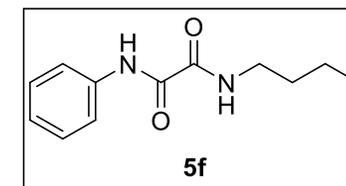
129.2979  
128.8286  
127.6549  
126.1471  
124.1568  
119.6189

— 35.9062

**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



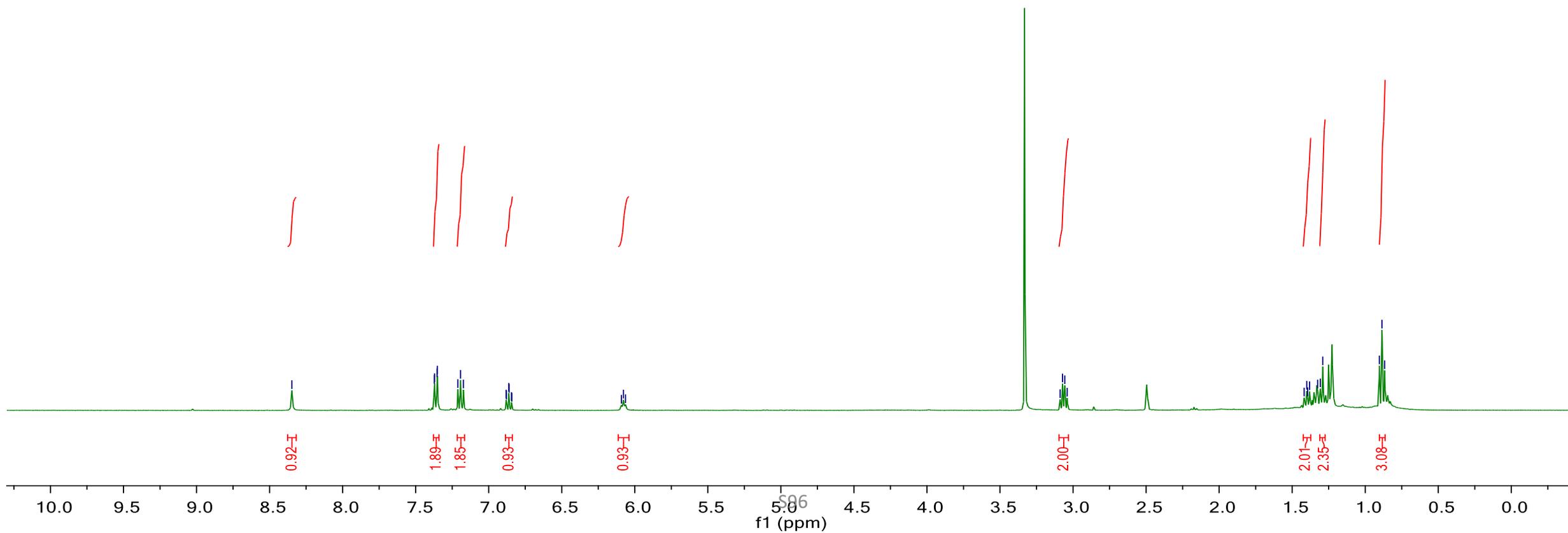
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



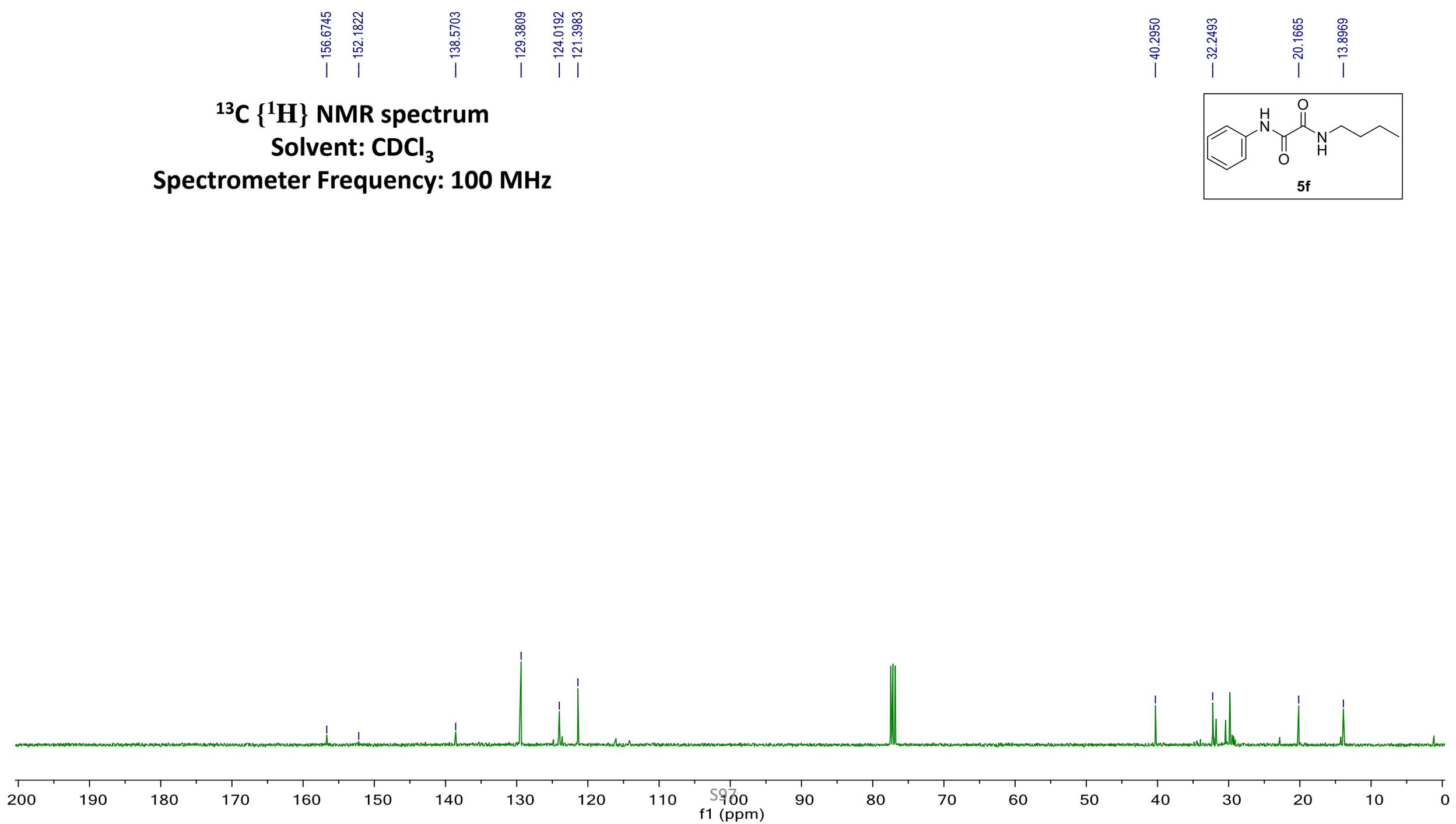
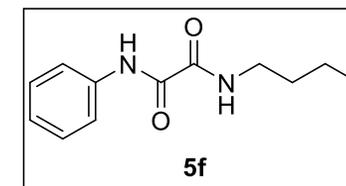
8.3477  
7.3725  
7.3709  
7.3537  
7.3514  
7.2116  
7.1929  
7.1732  
6.8806  
6.8788  
6.8623  
6.8604  
6.8440  
6.8415  
6.0774  
6.0635

3.0884  
3.0721  
3.0565  
3.0402

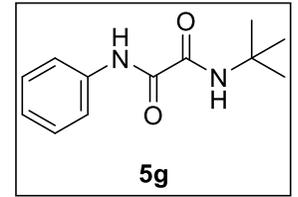
1.4181  
1.4000  
1.3941  
1.3809  
1.3257  
1.3074  
1.2908  
0.9033  
0.8854  
0.8670



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

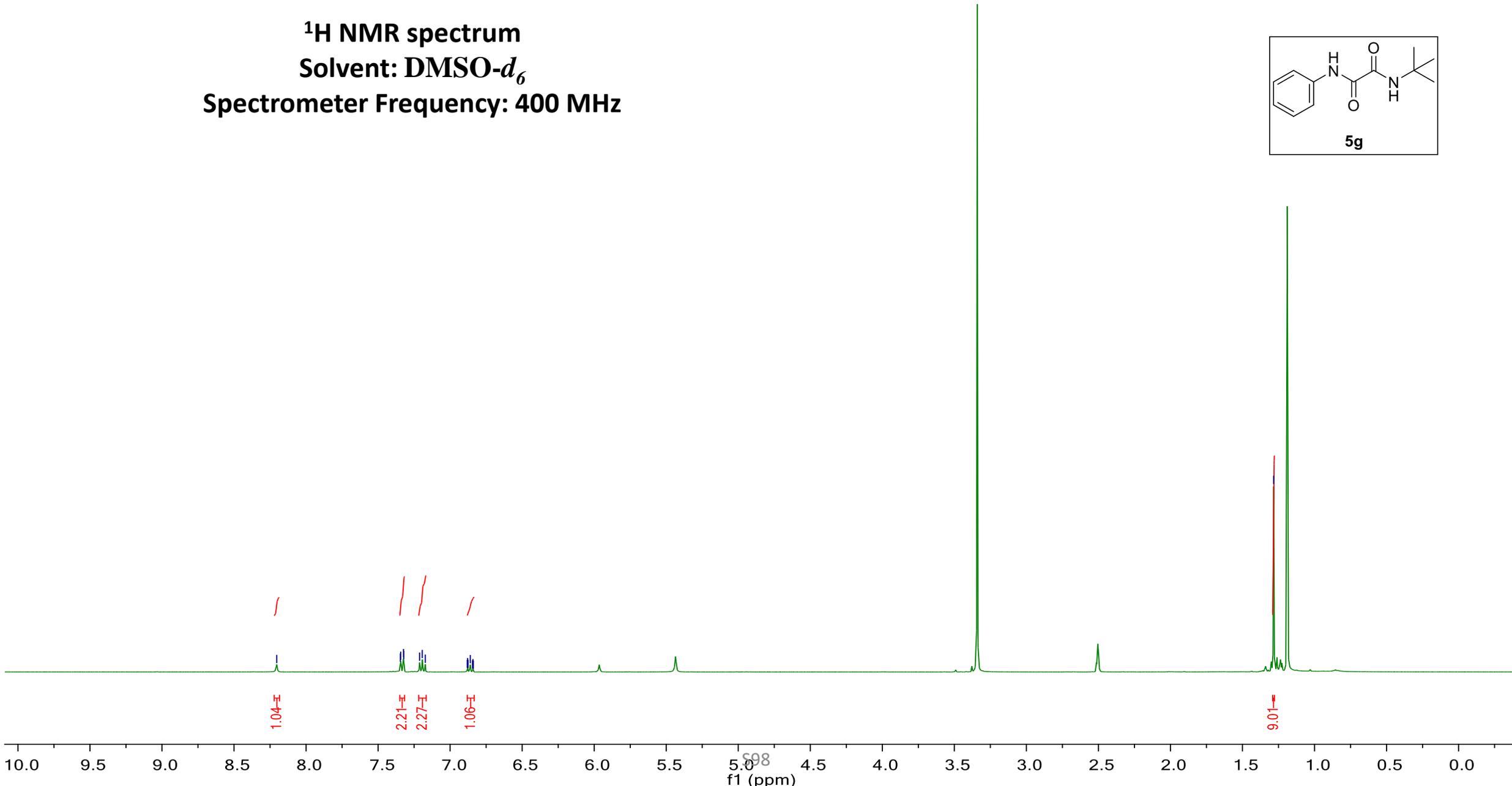


**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 400 MHz**



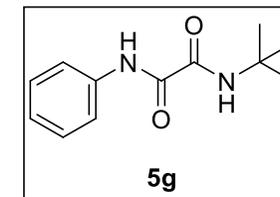
8.2036  
7.3456  
7.3427  
7.3239  
7.3215  
7.2120  
7.1934  
7.1723  
6.8809  
6.8782  
6.8755  
6.8599  
6.8443  
6.8416  
6.8389

1.2837



508

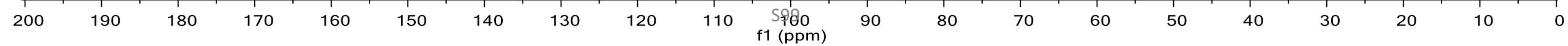
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 100 MHz**



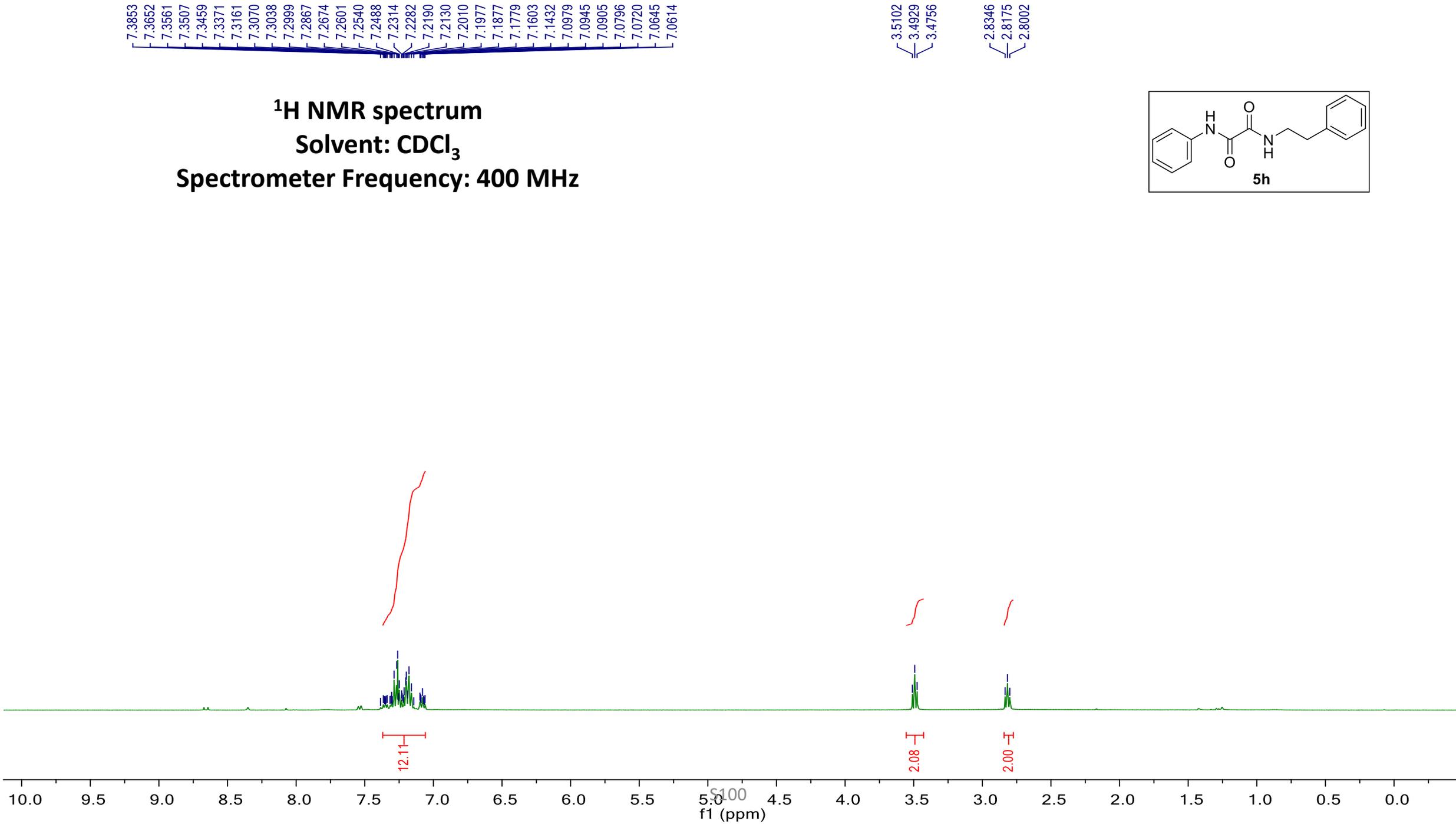
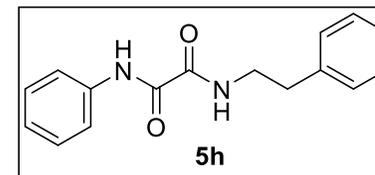
157.1043  
155.8224  
139.9477  
129.6238  
123.4082  
120.6823

51.4089

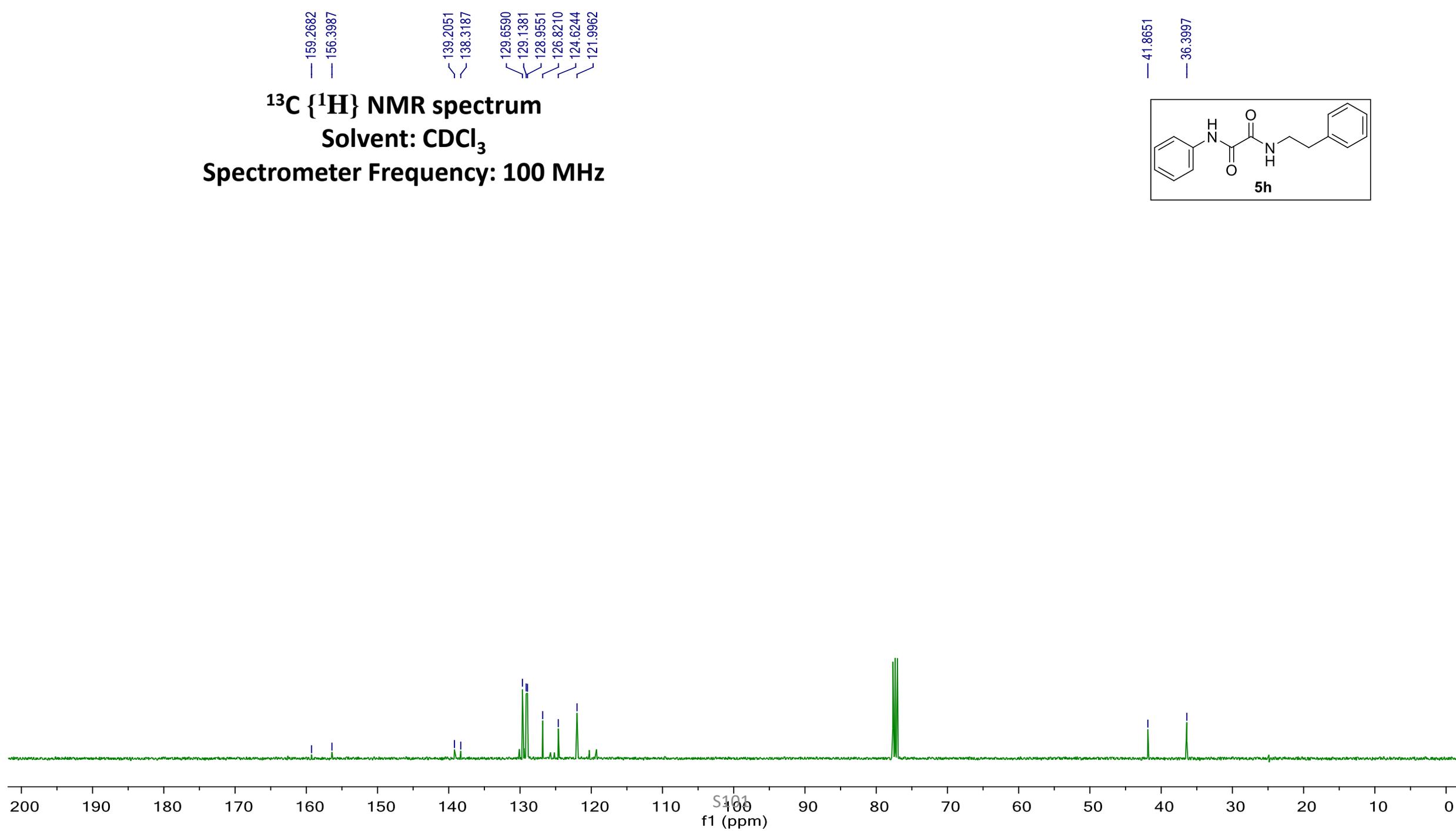
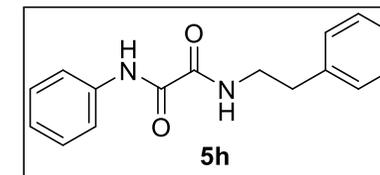
30.0285



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**

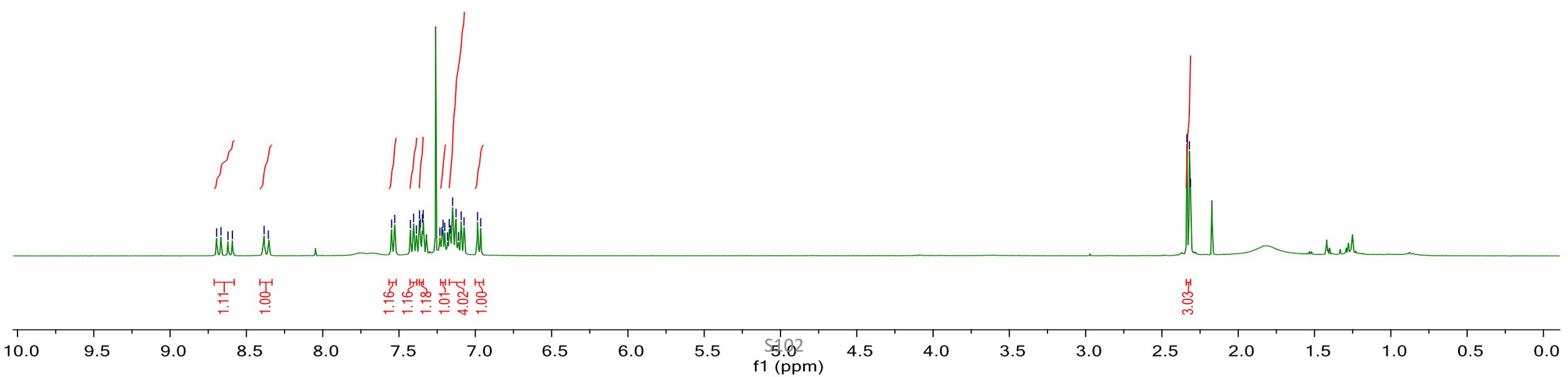
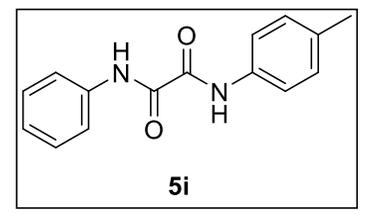


**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

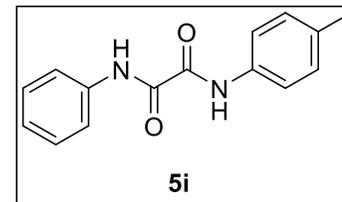


<sup>1</sup>H NMR spectrum  
 Solvent: CDCl<sub>3</sub>  
 Spectrometer Frequency: 400 MHz

2.33365  
 2.31197  
 2.3133



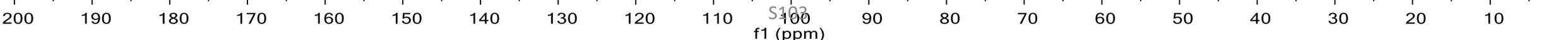
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



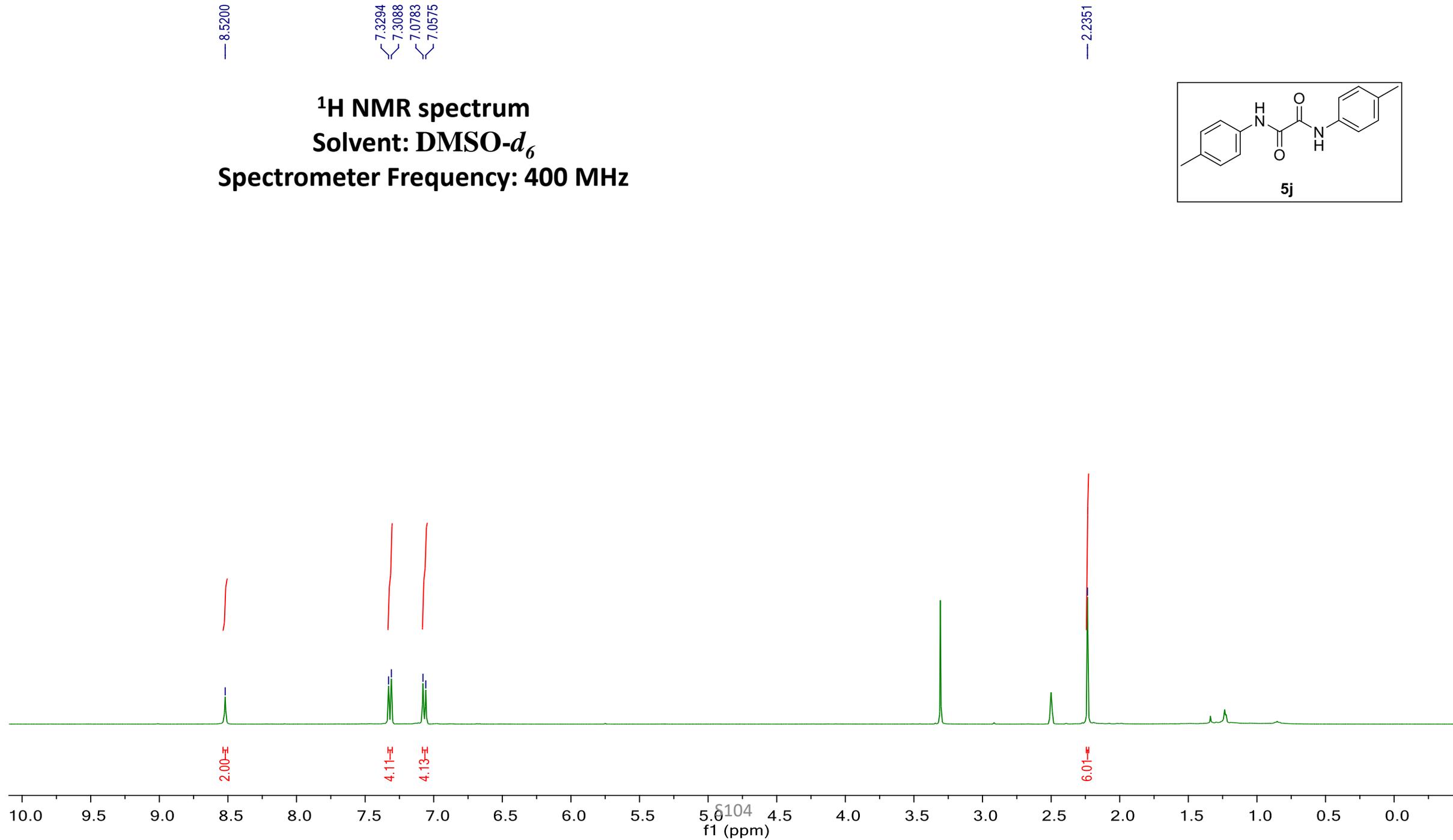
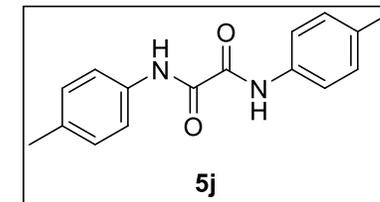
— 162.4352  
— 159.0002

130.4140  
129.9940  
129.9435  
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121.8680  
120.1462  
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119.0767

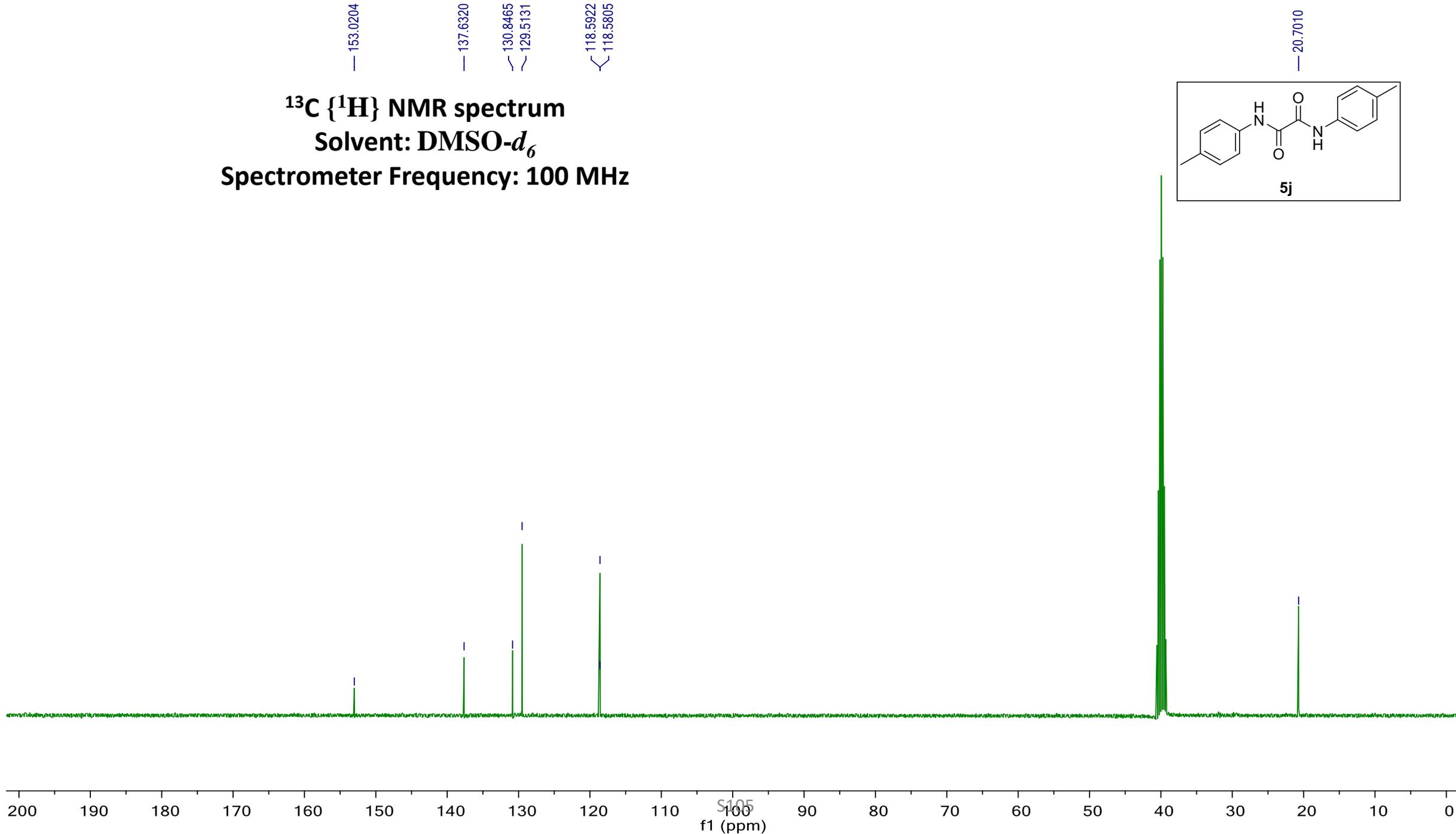
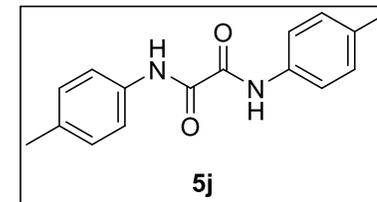
— 20.9520



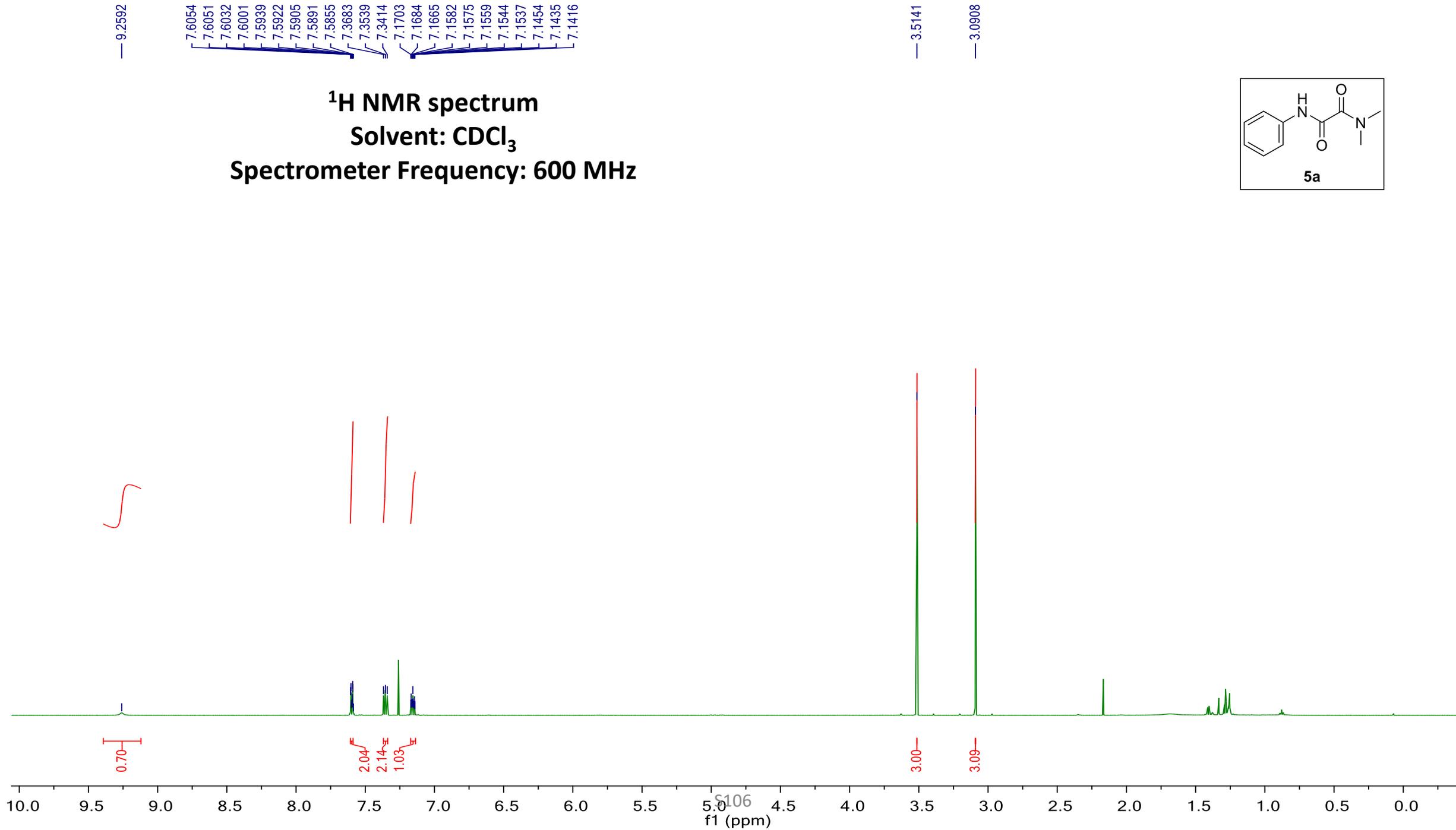
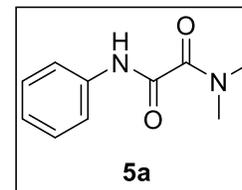
**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 400 MHz**



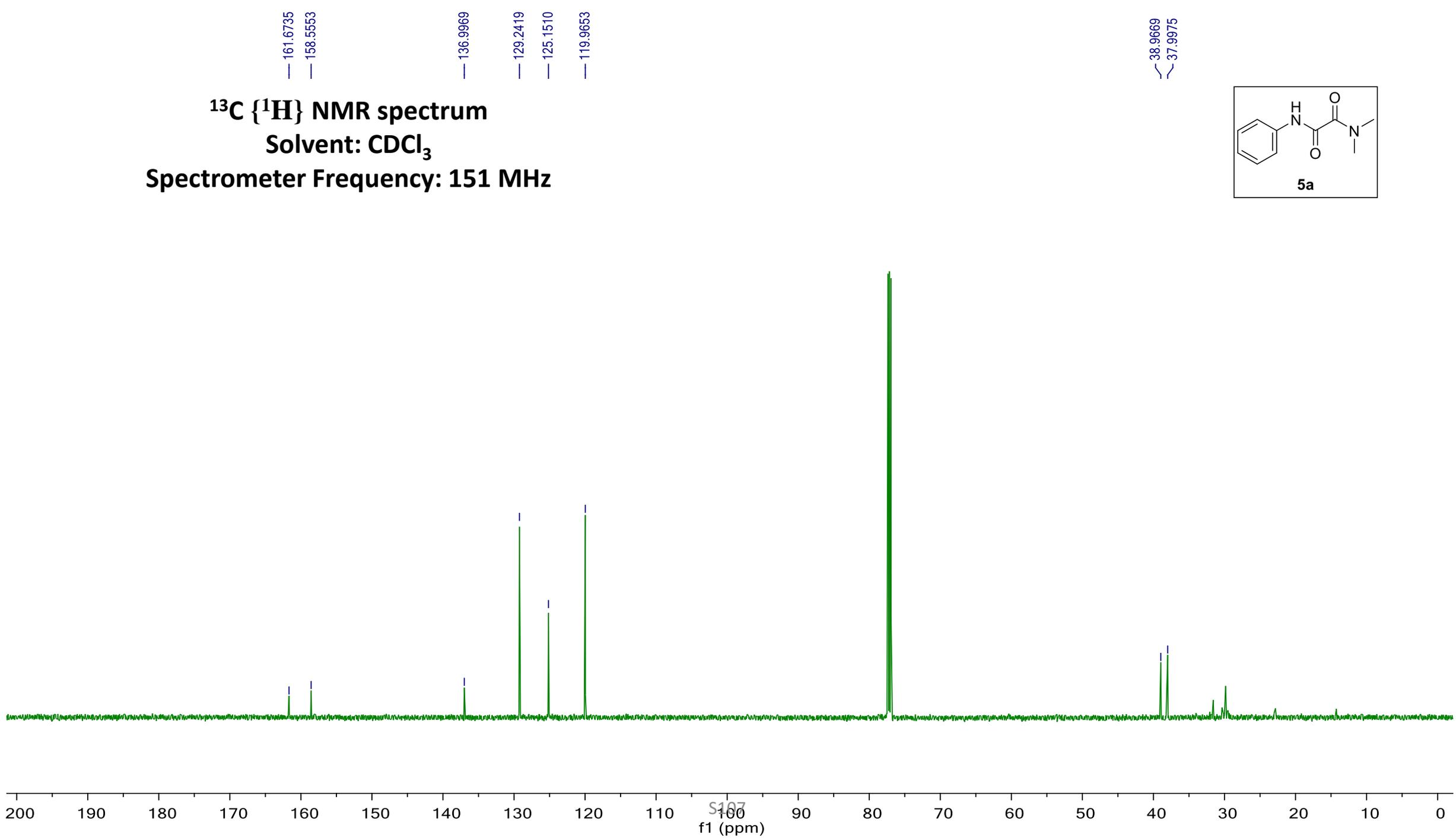
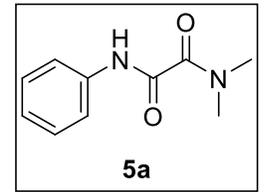
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



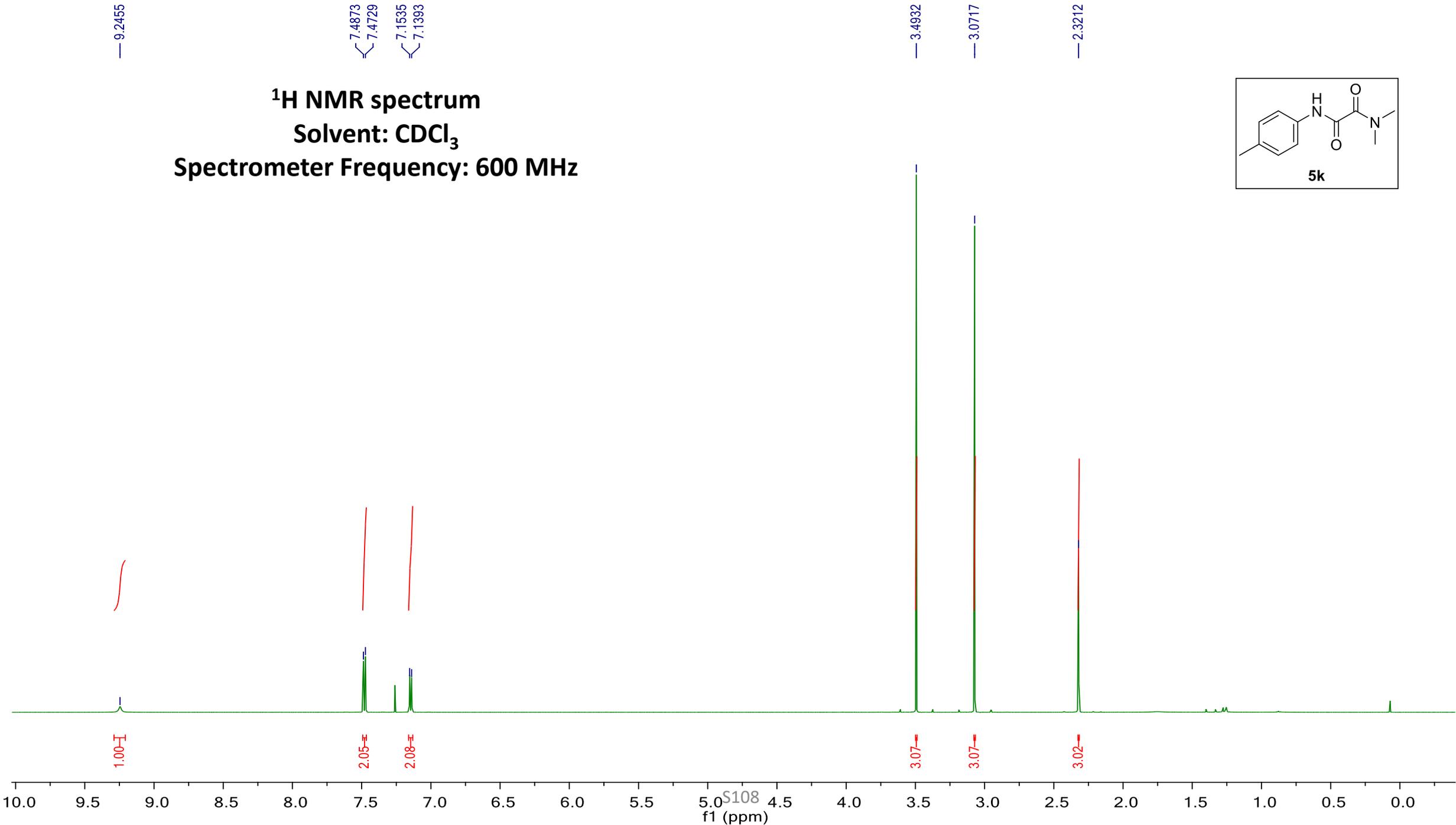
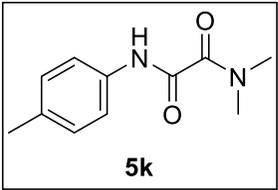
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 600 MHz**



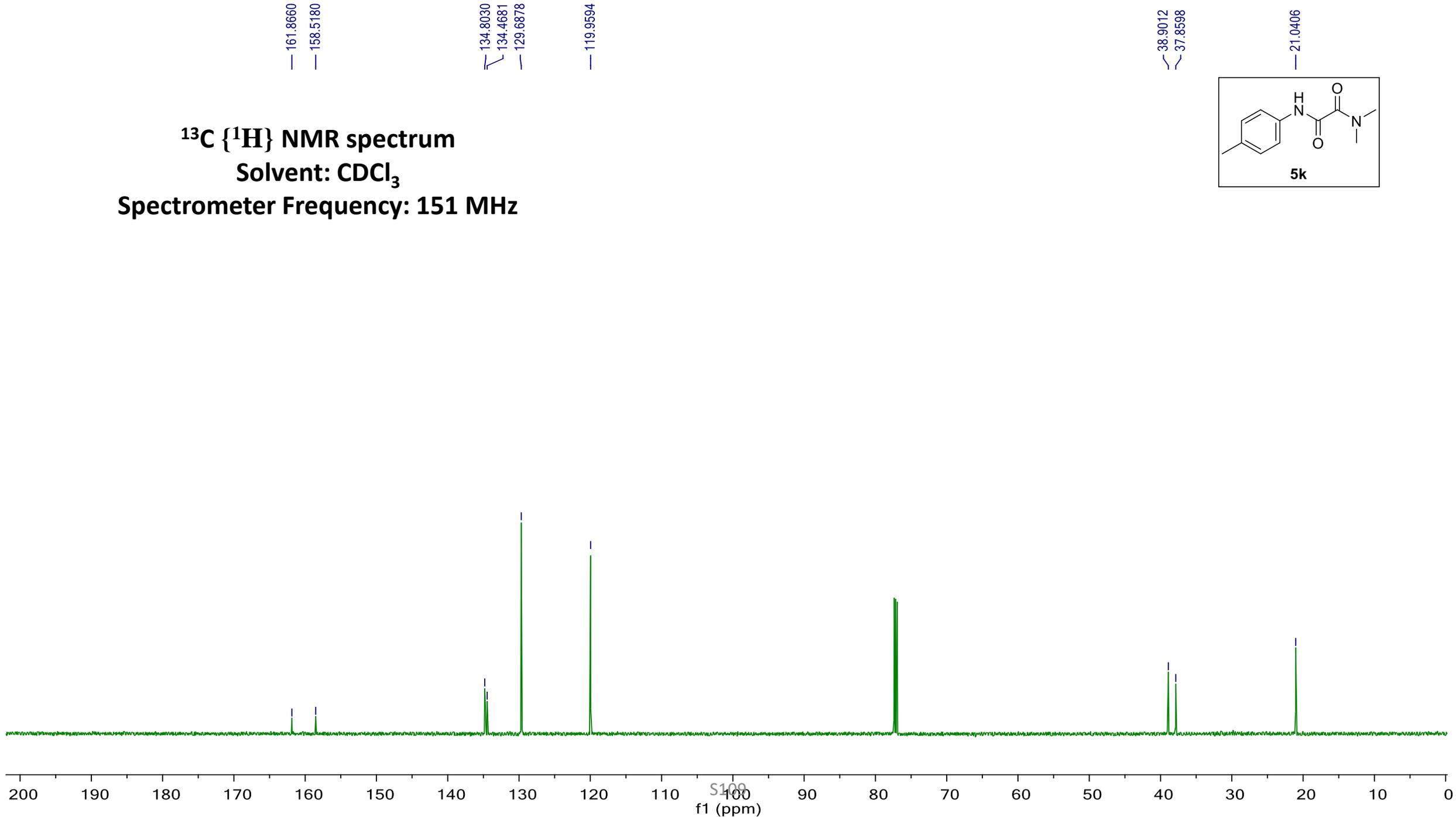
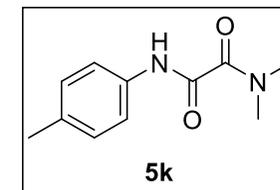
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**



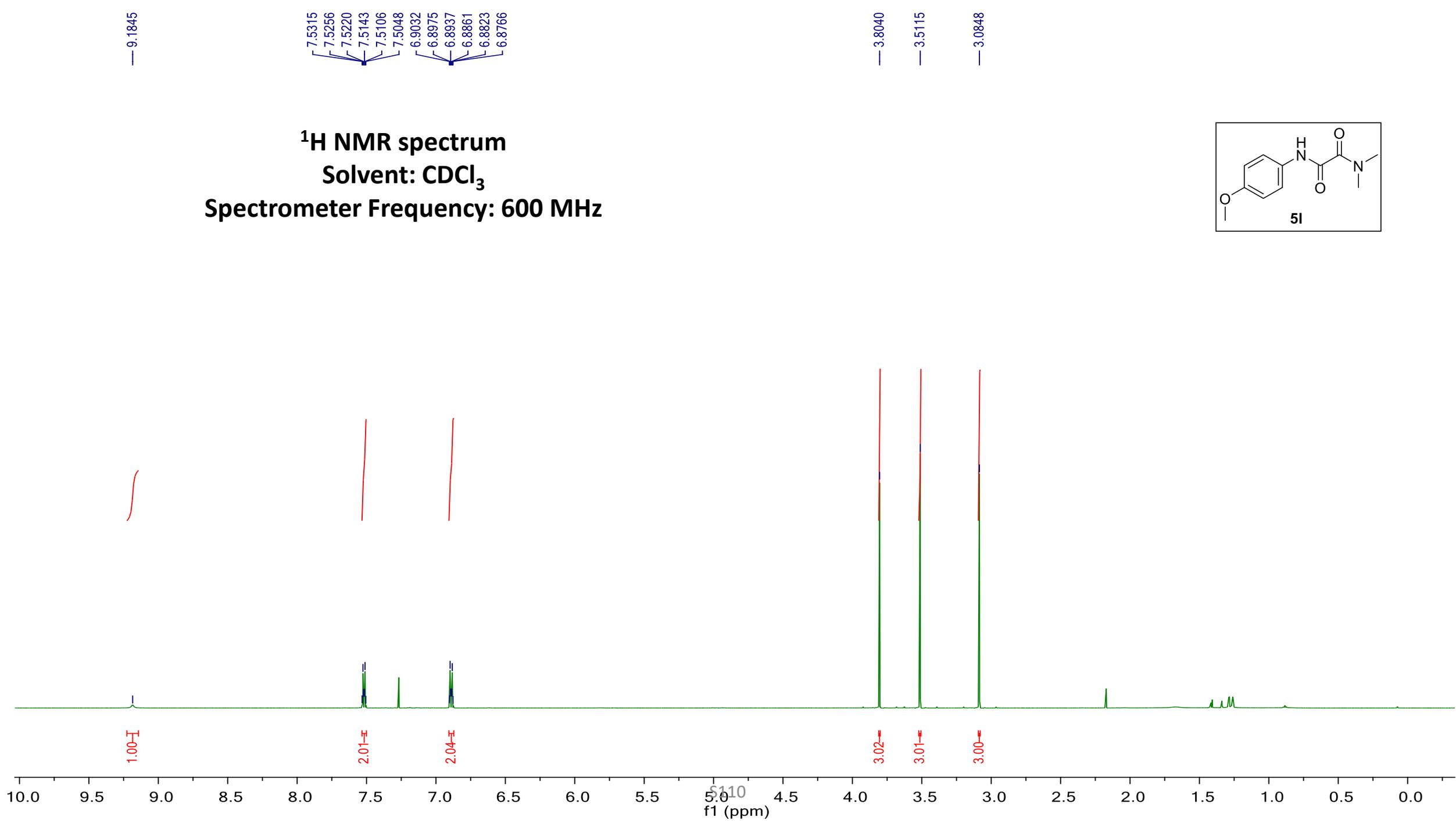
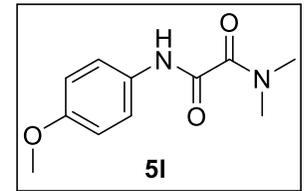
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 600 MHz**



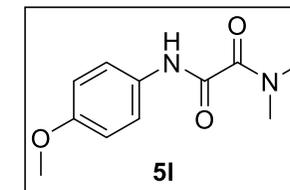
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 600 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**

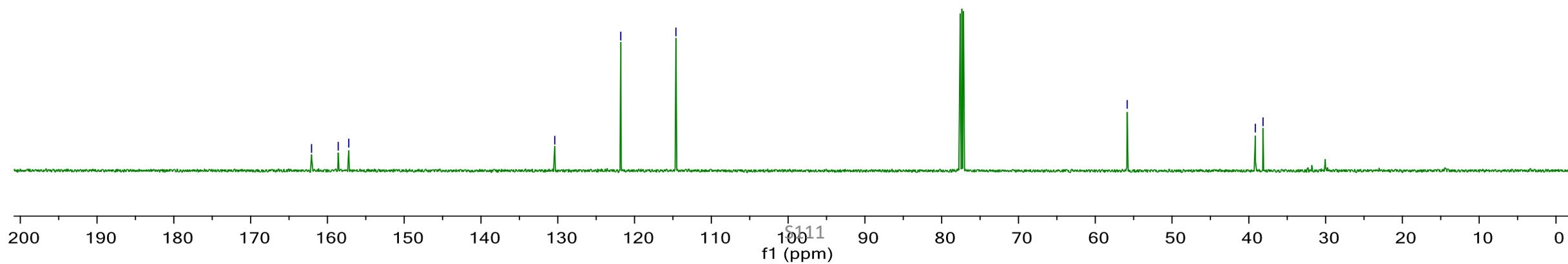


— 162.0695  
— 158.5897  
— 157.2228

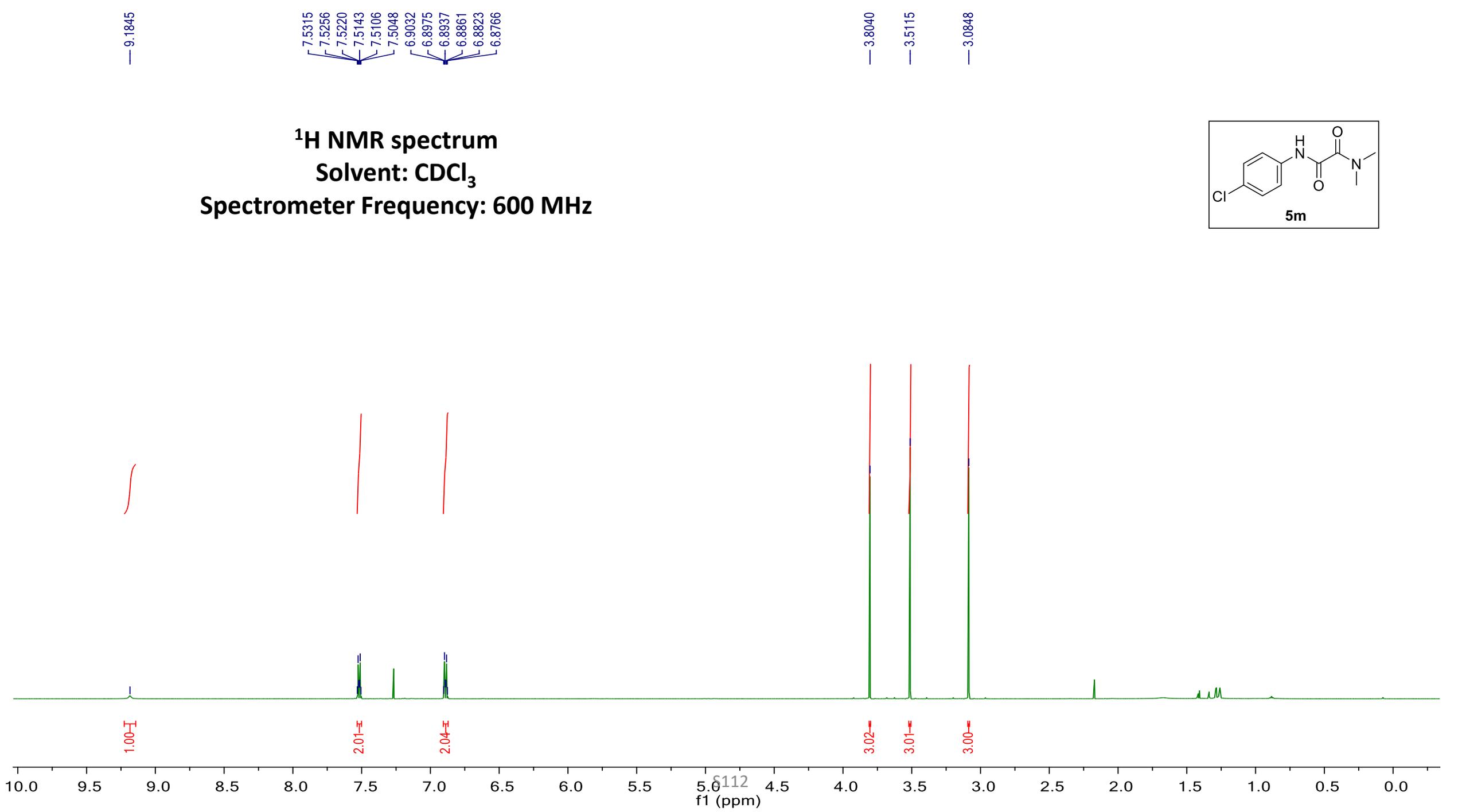
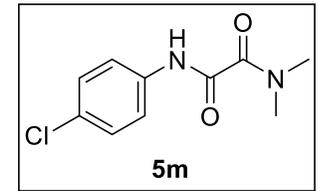
— 130.3962  
— 121.7943  
— 114.6123

— 55.8387

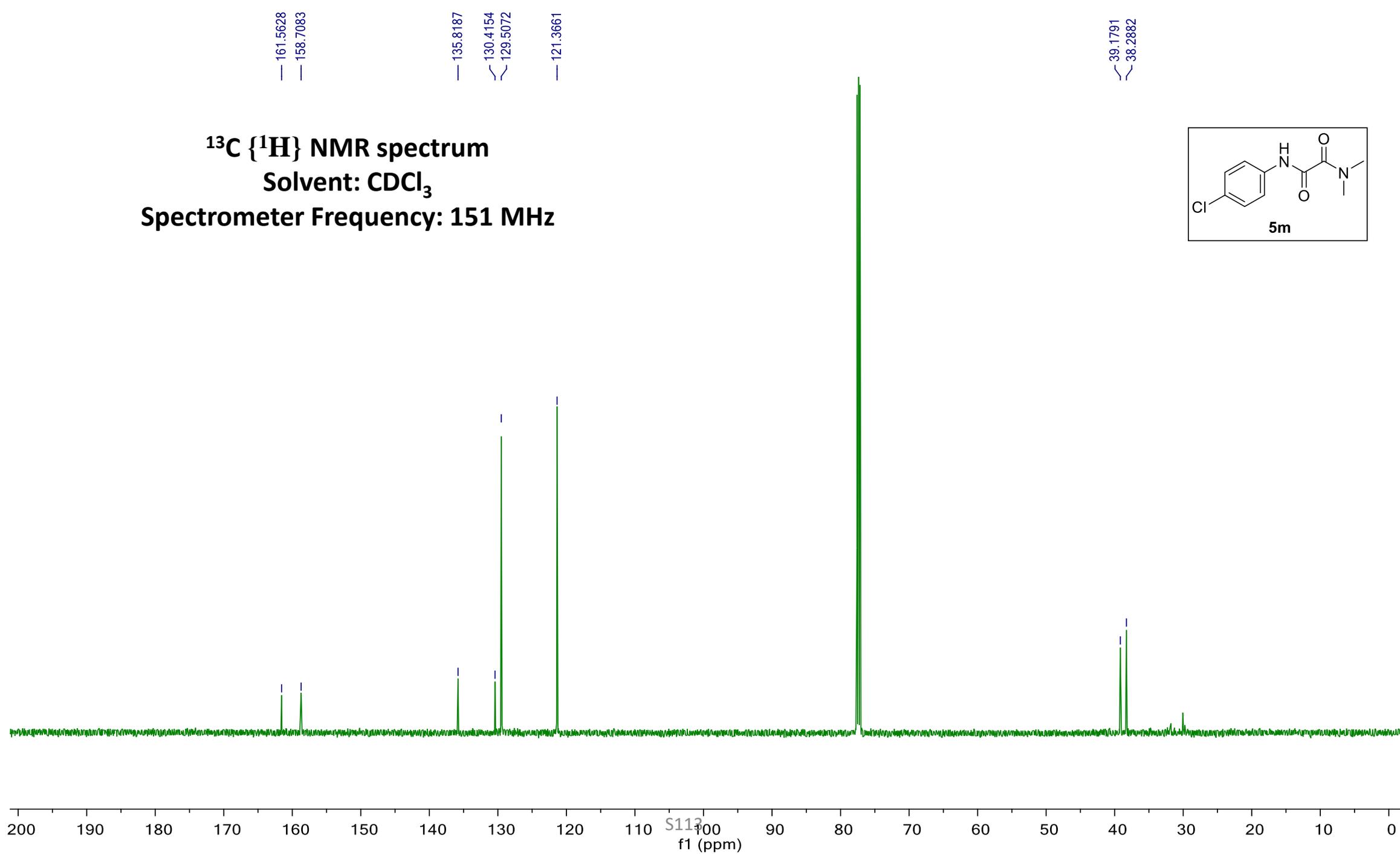
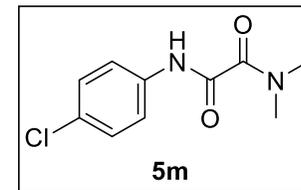
— 39.1467  
— 38.1445



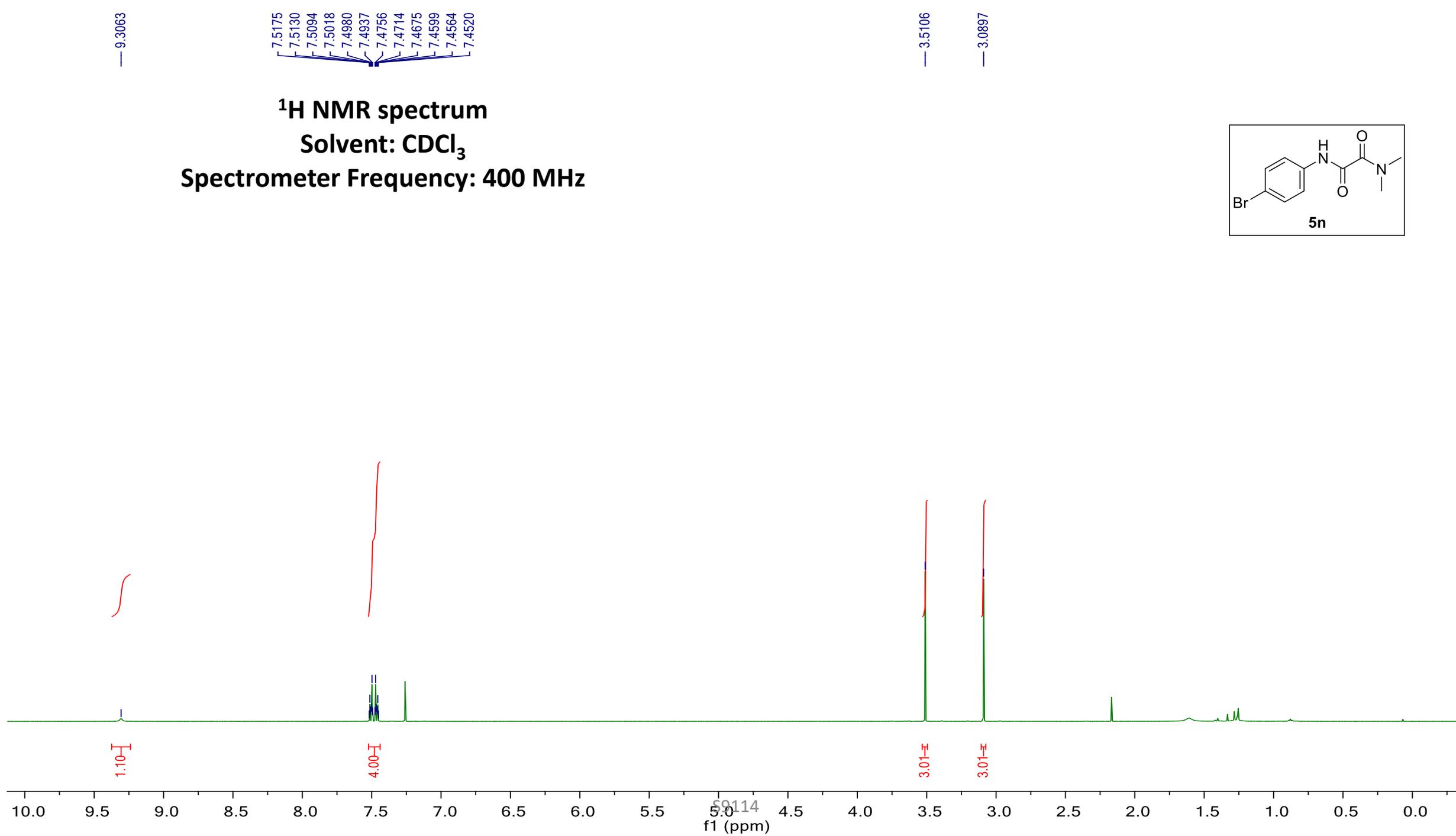
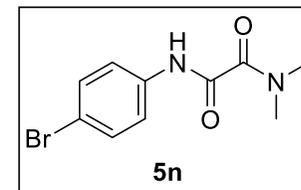
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 600 MHz**



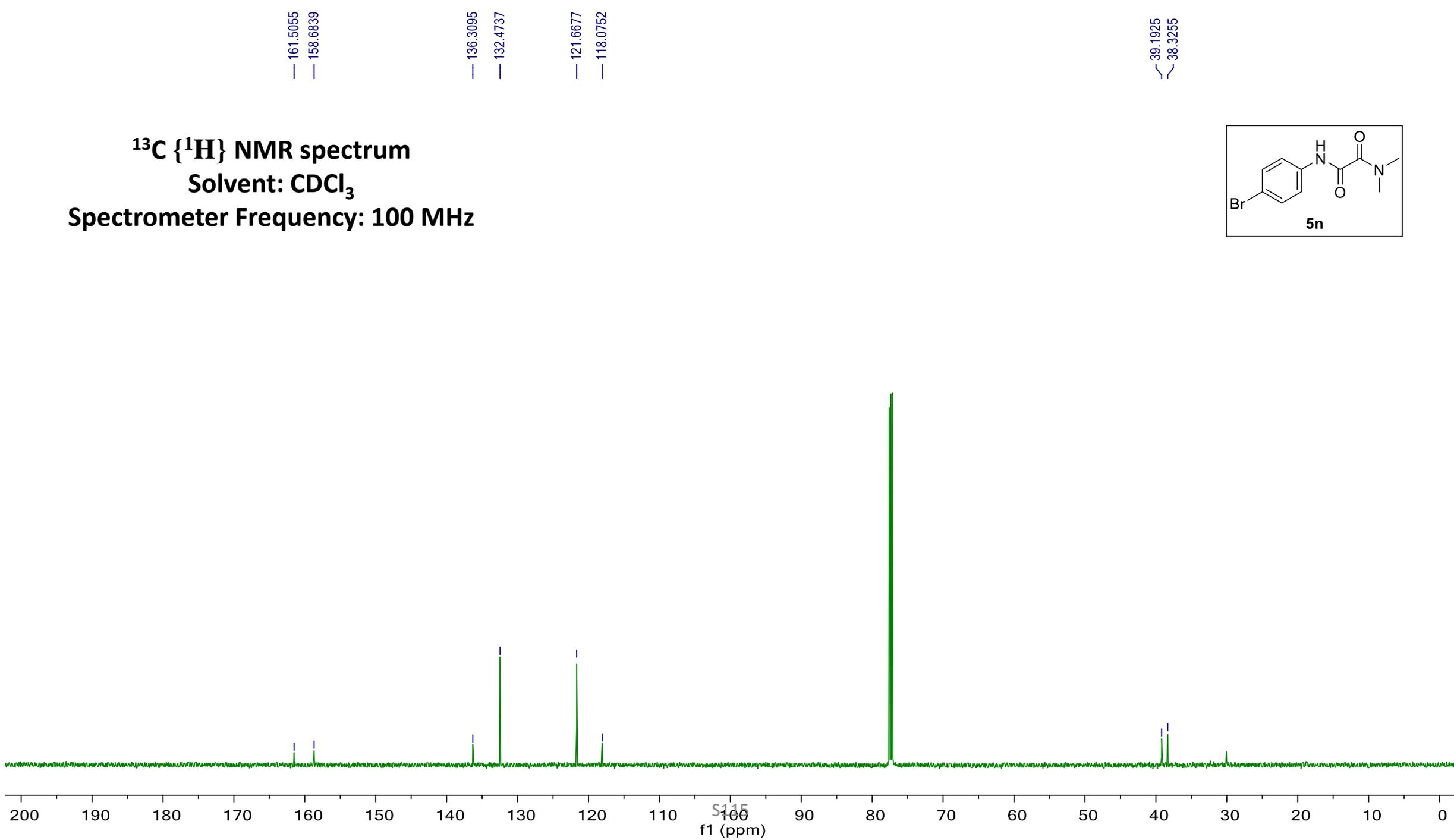
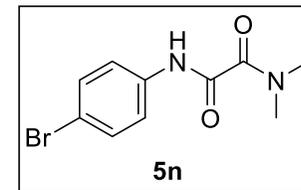
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



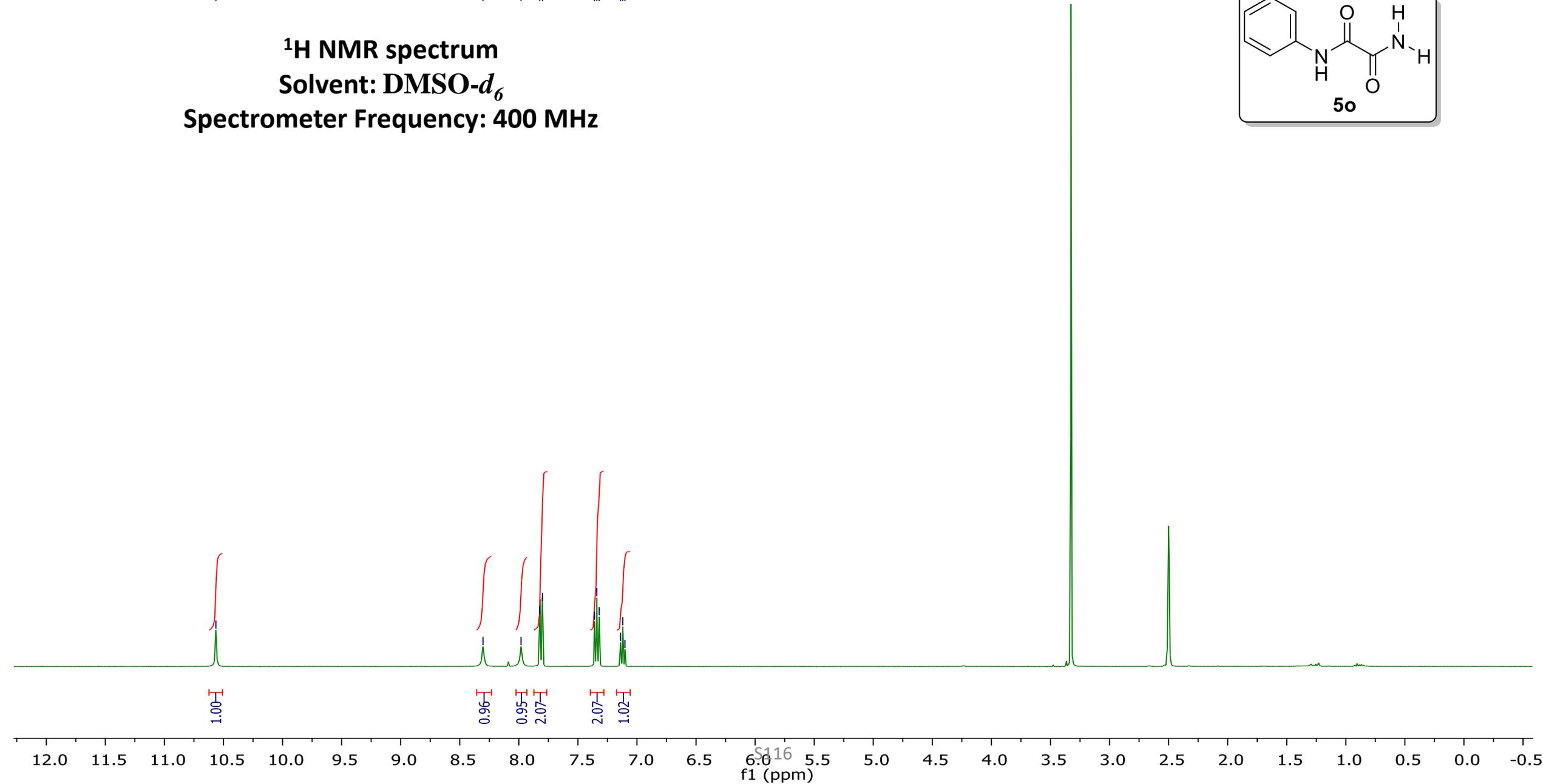
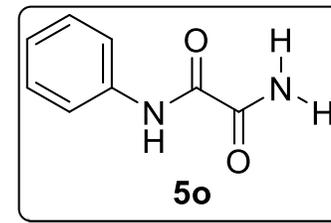
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



— 10.5640

— 8.3029  
— 7.9813  
— 7.8232  
— 7.8001  
— 7.3596  
— 7.3406  
— 7.3200  
— 7.1387  
— 7.1202  
— 7.1017

**$^1\text{H}$  NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 400 MHz**



AJ-V-40-FORMAMIDE  
single pulse decoupled gated NOE

— 162.6787

— 159.3710

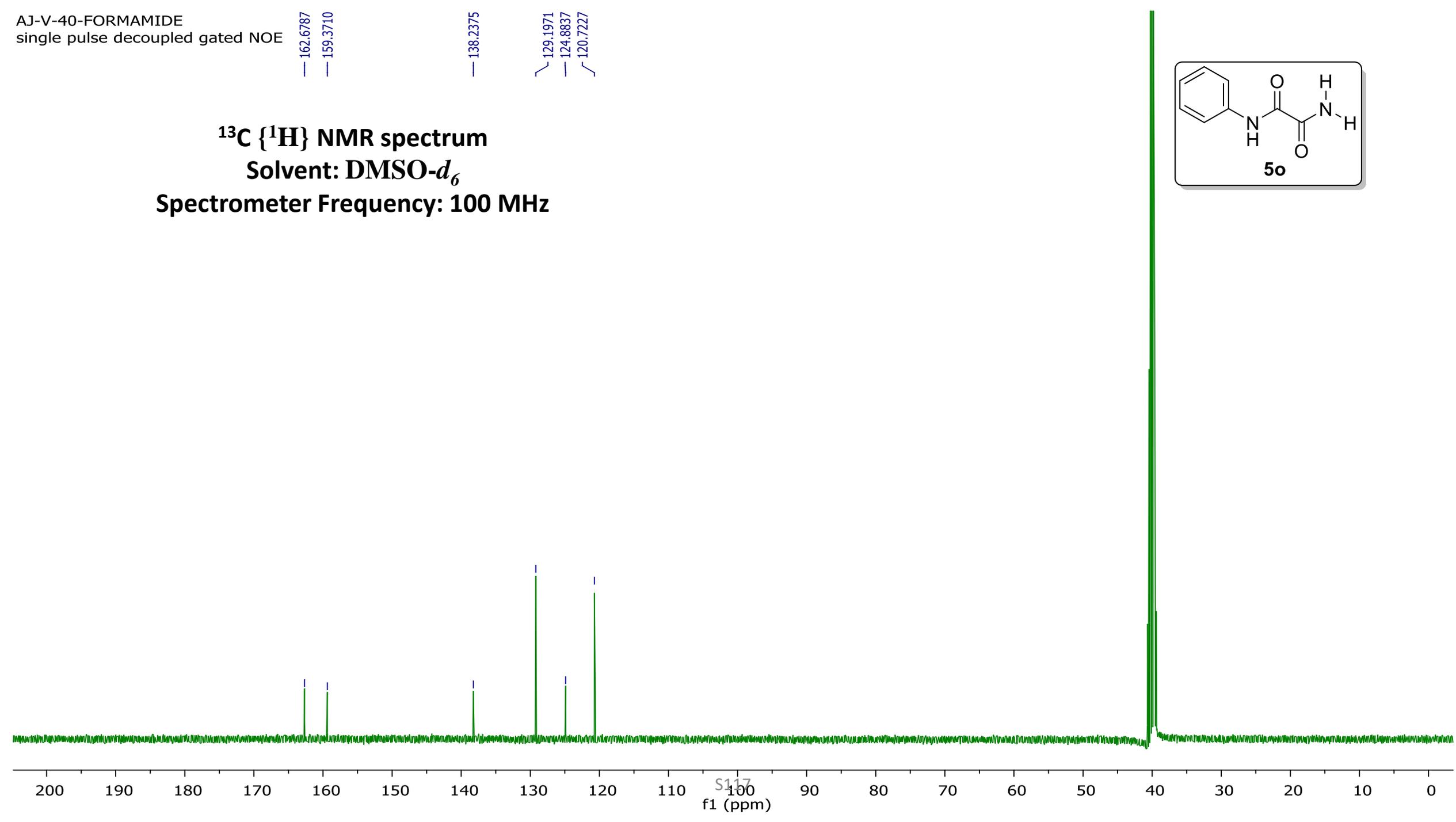
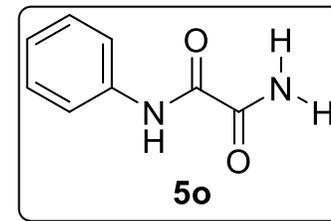
— 138.2375

— 129.1971

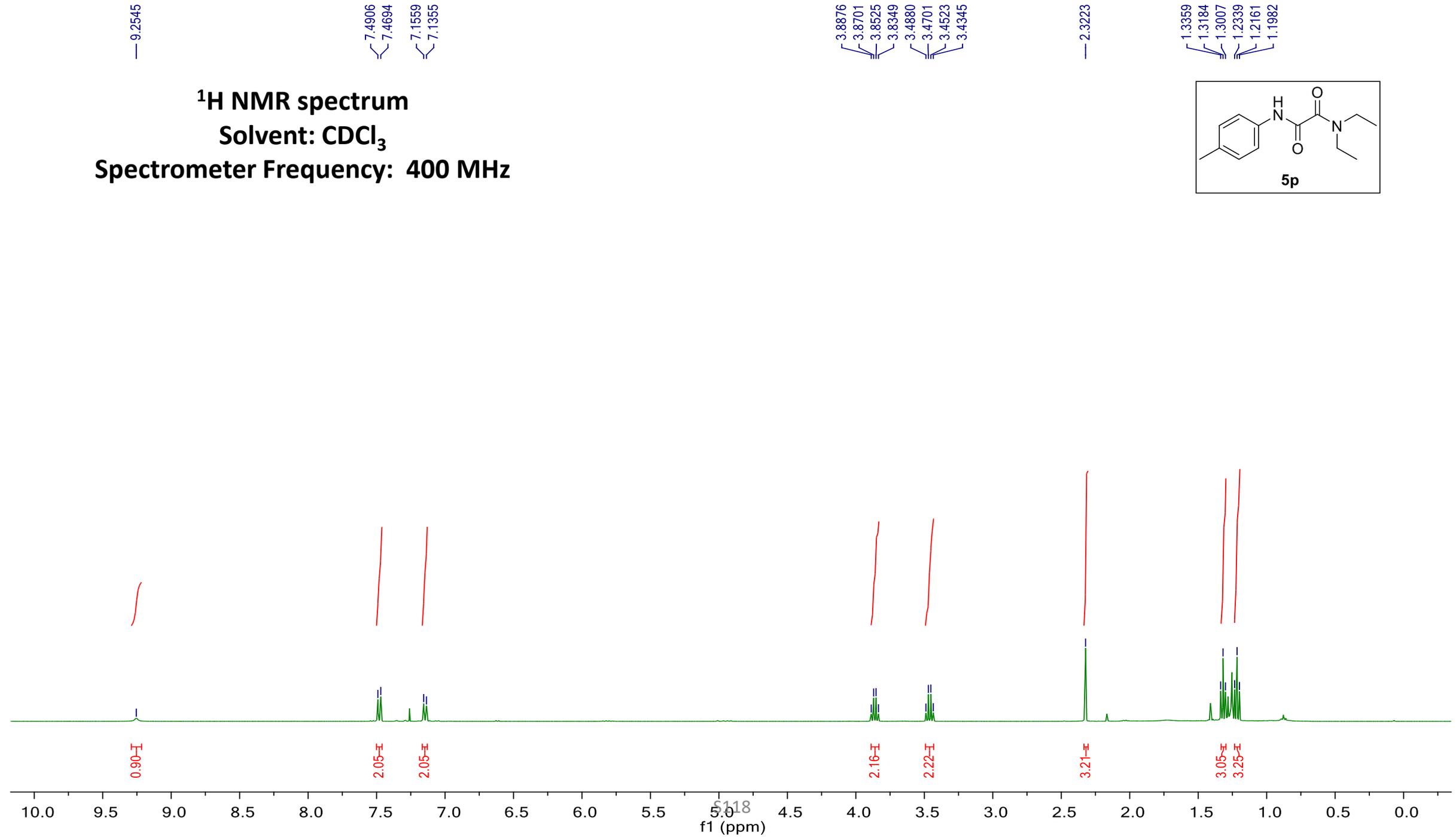
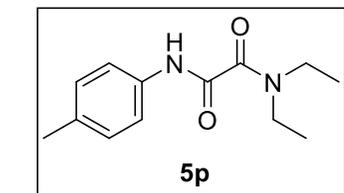
— 124.8837

— 120.7227

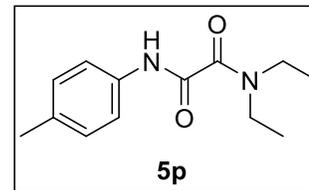
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



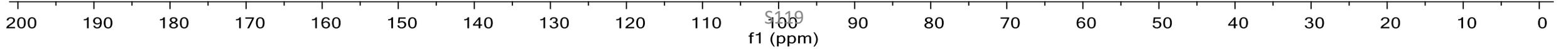
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— 158.9925

— 135.1920  
— 134.9866  
— 130.1488

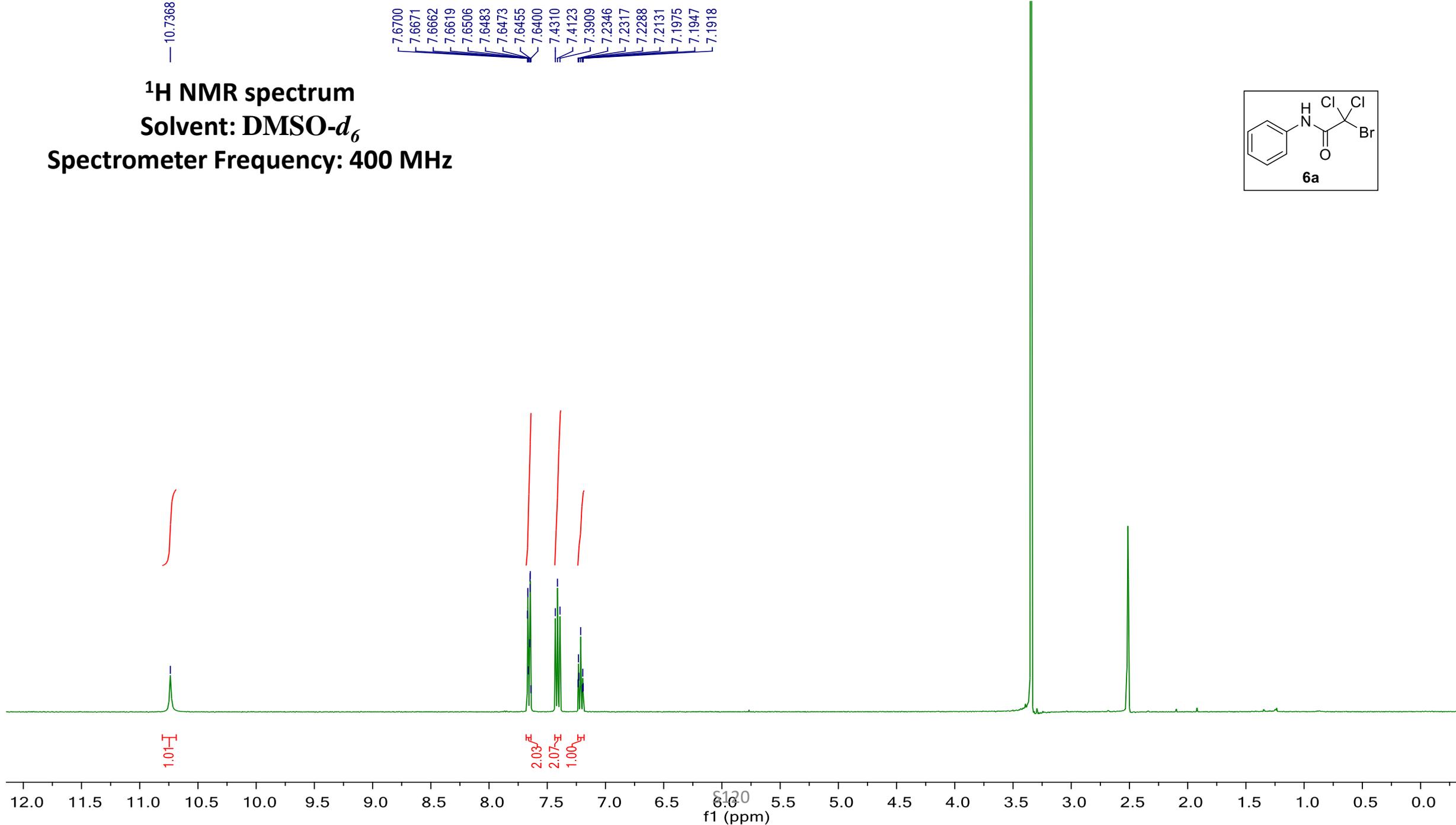
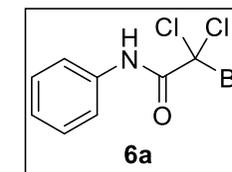
— 120.3915

— 44.3103  
— 43.5057

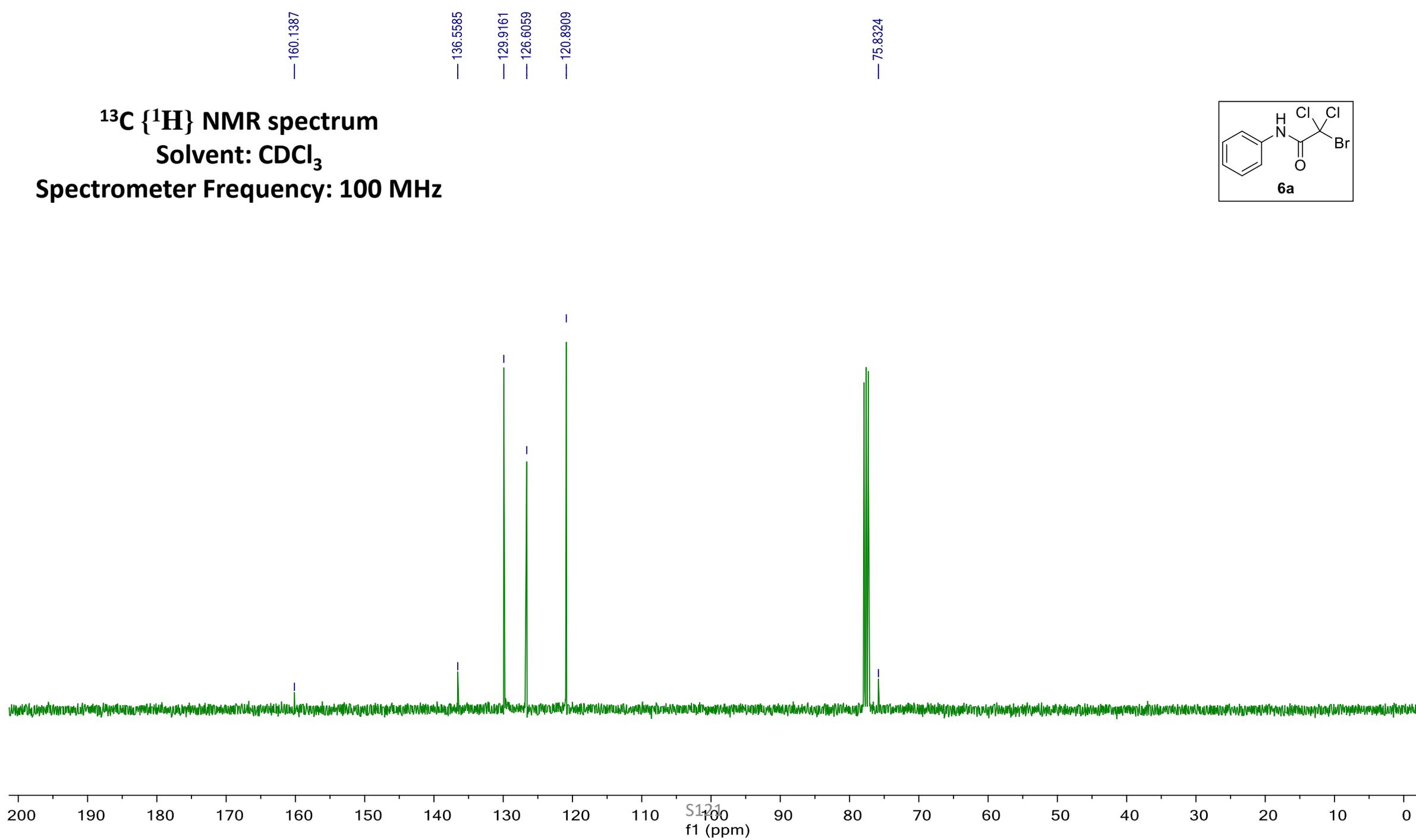
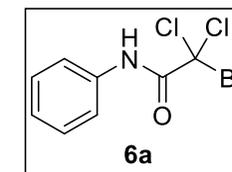
— 21.5125  
— 15.3927  
— 13.0278



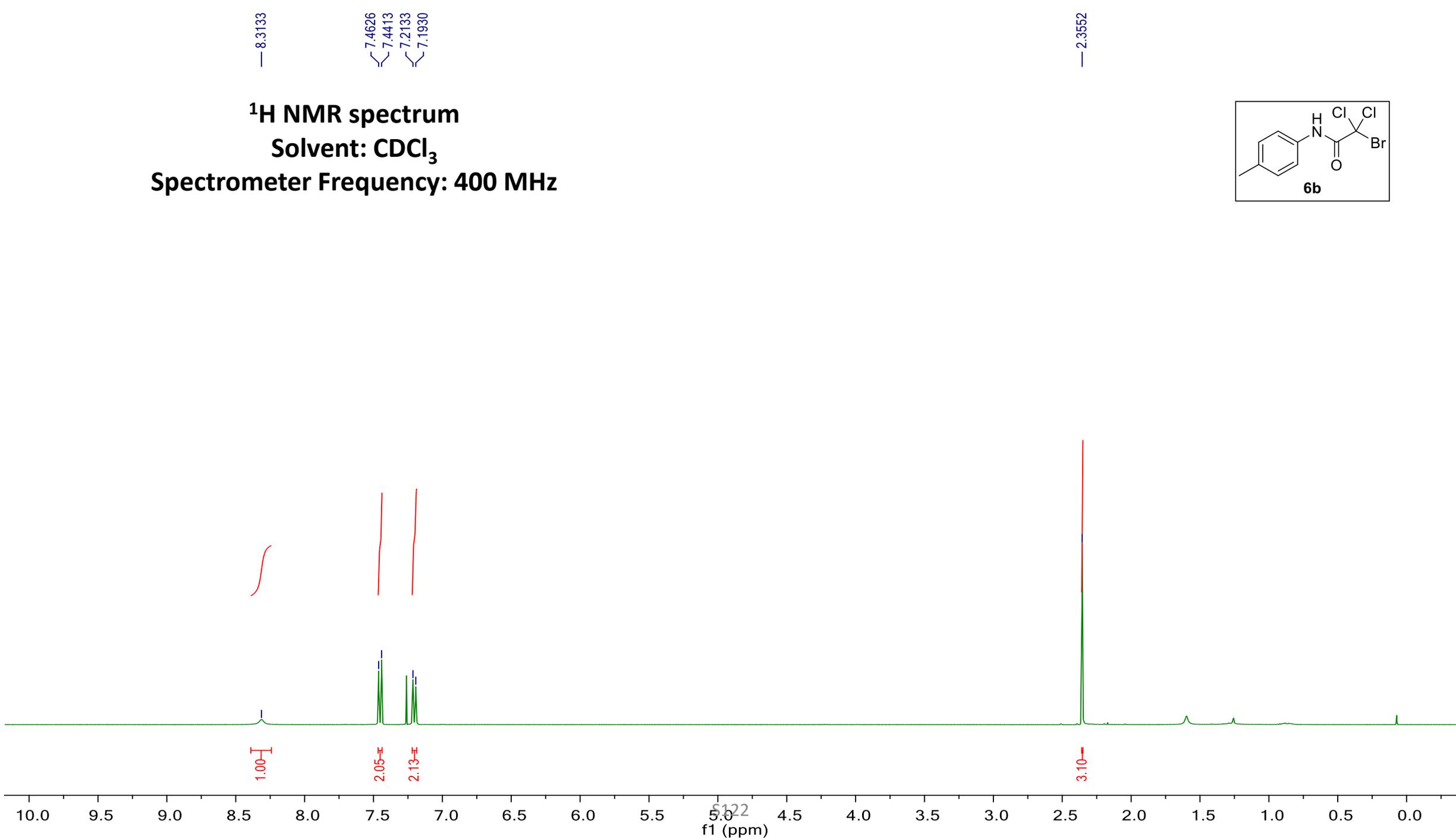
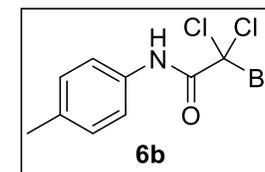
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



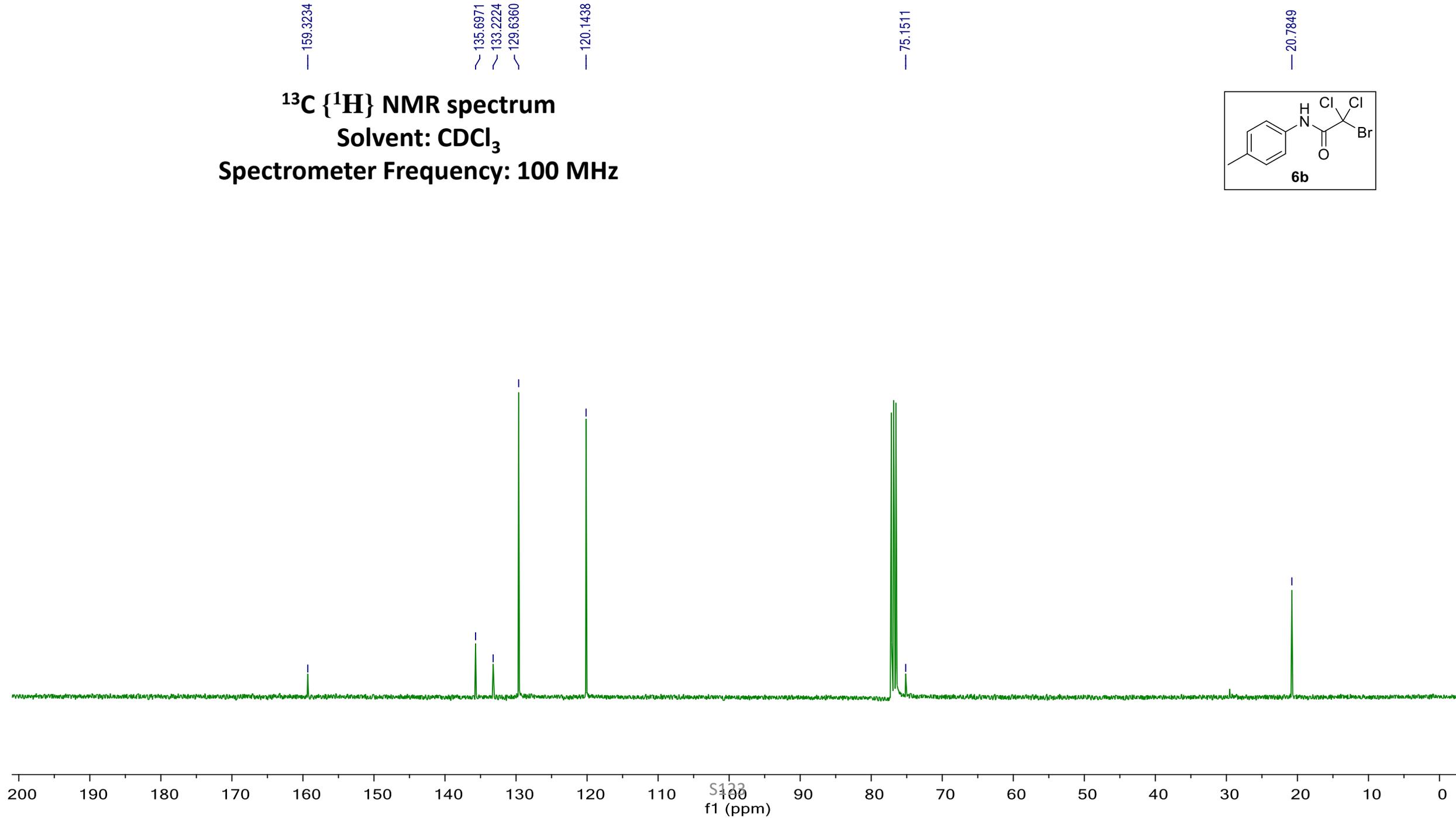
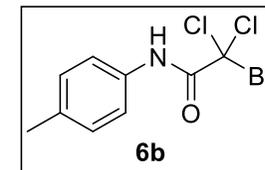
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**



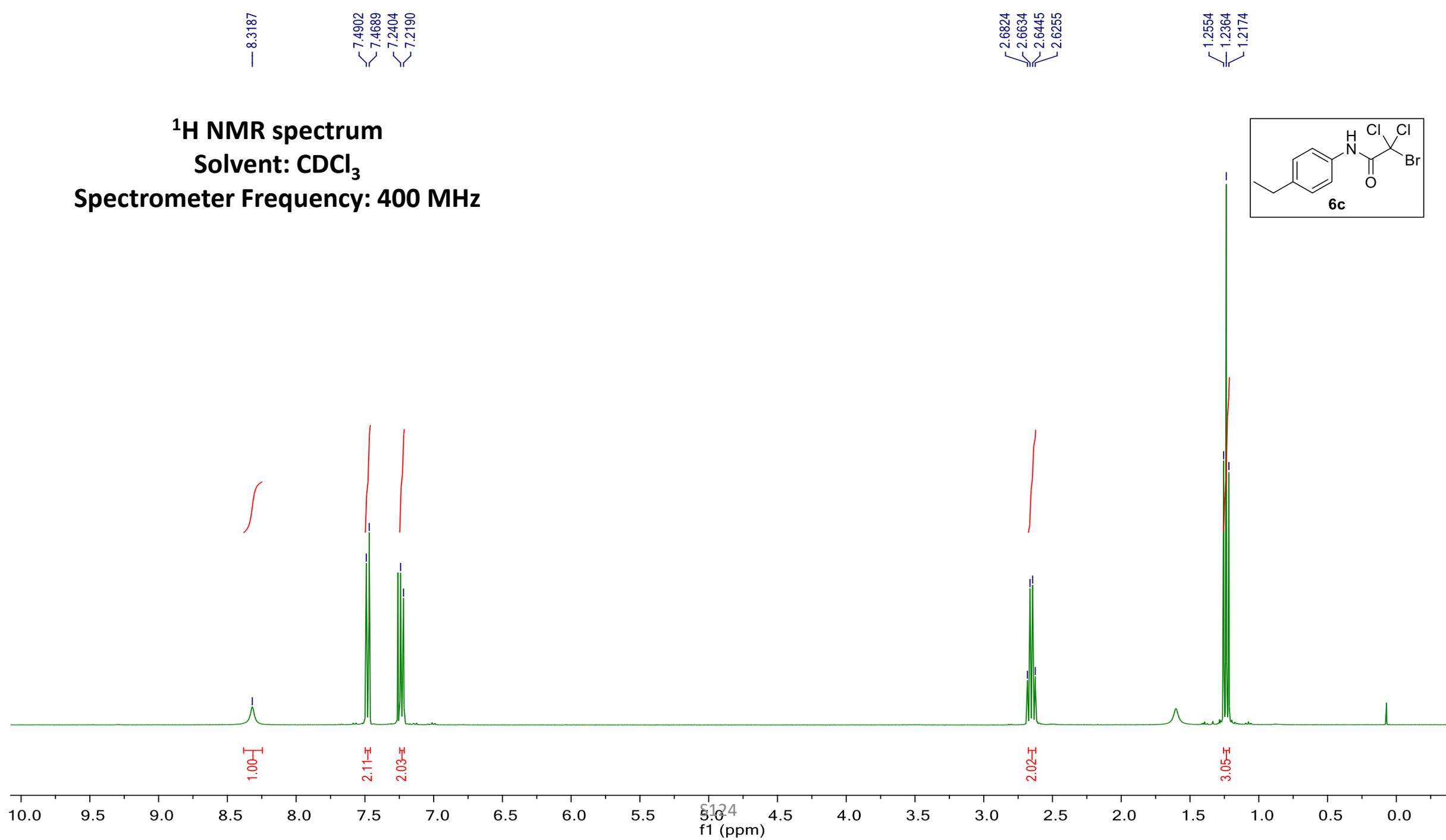
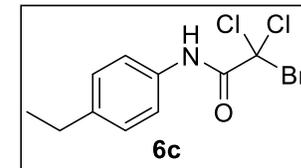
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



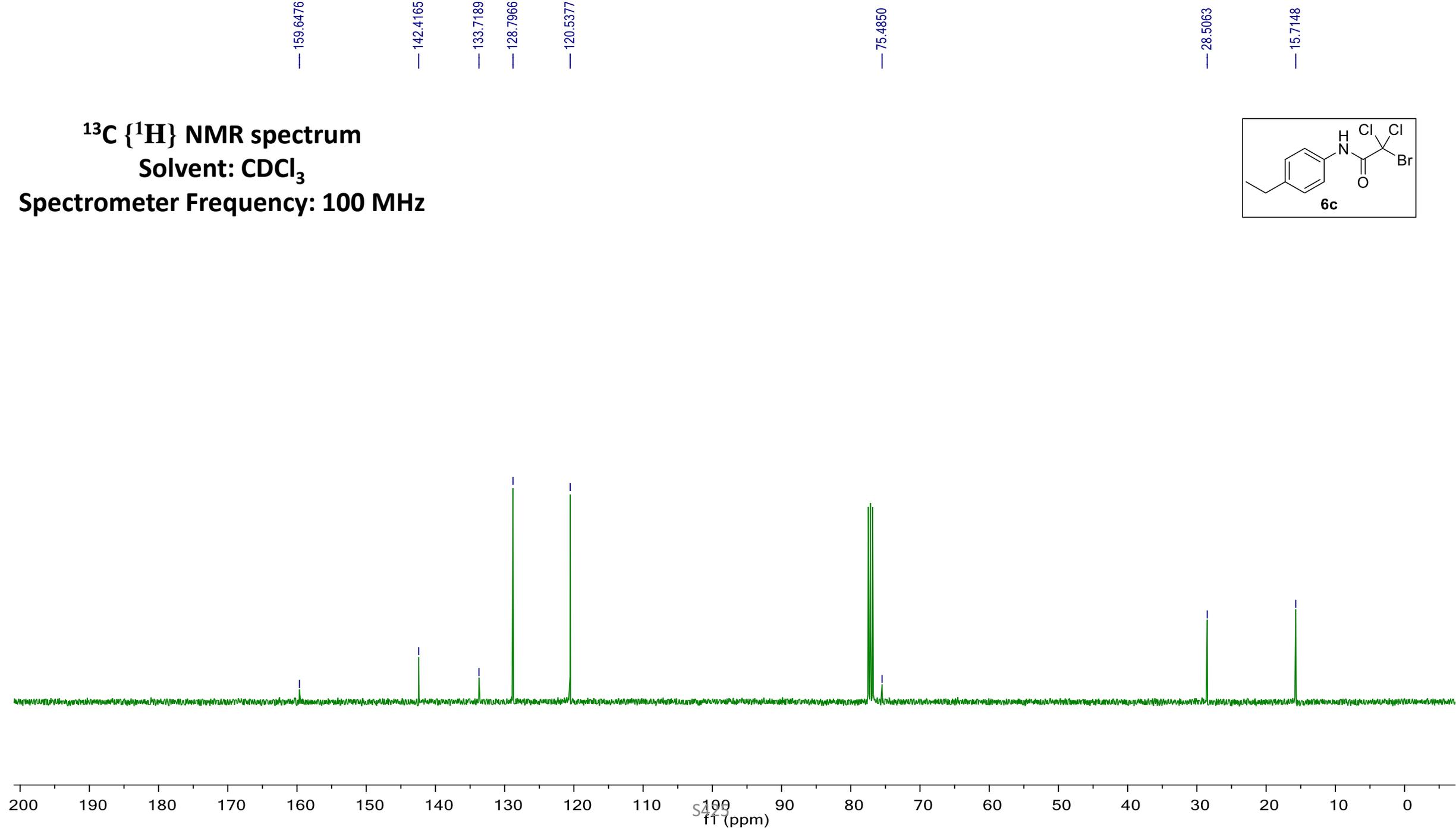
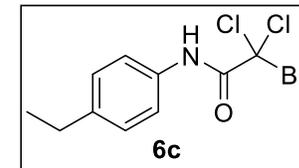
**<sup>1</sup>H NMR spectrum**

**Solvent: CDCl<sub>3</sub>**

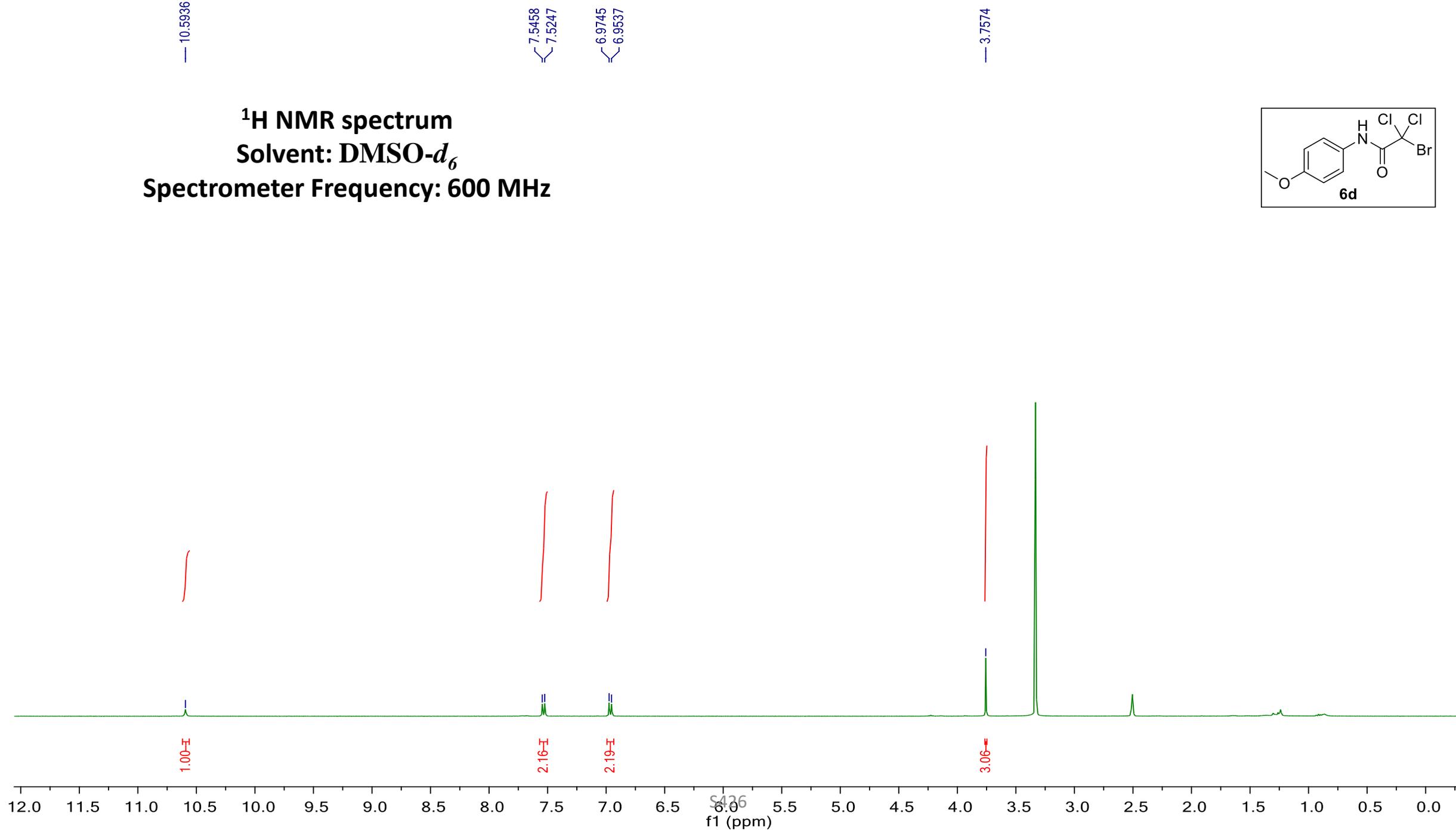
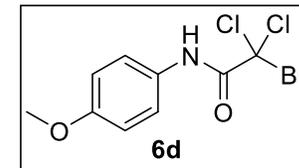
**Spectrometer Frequency: 400 MHz**



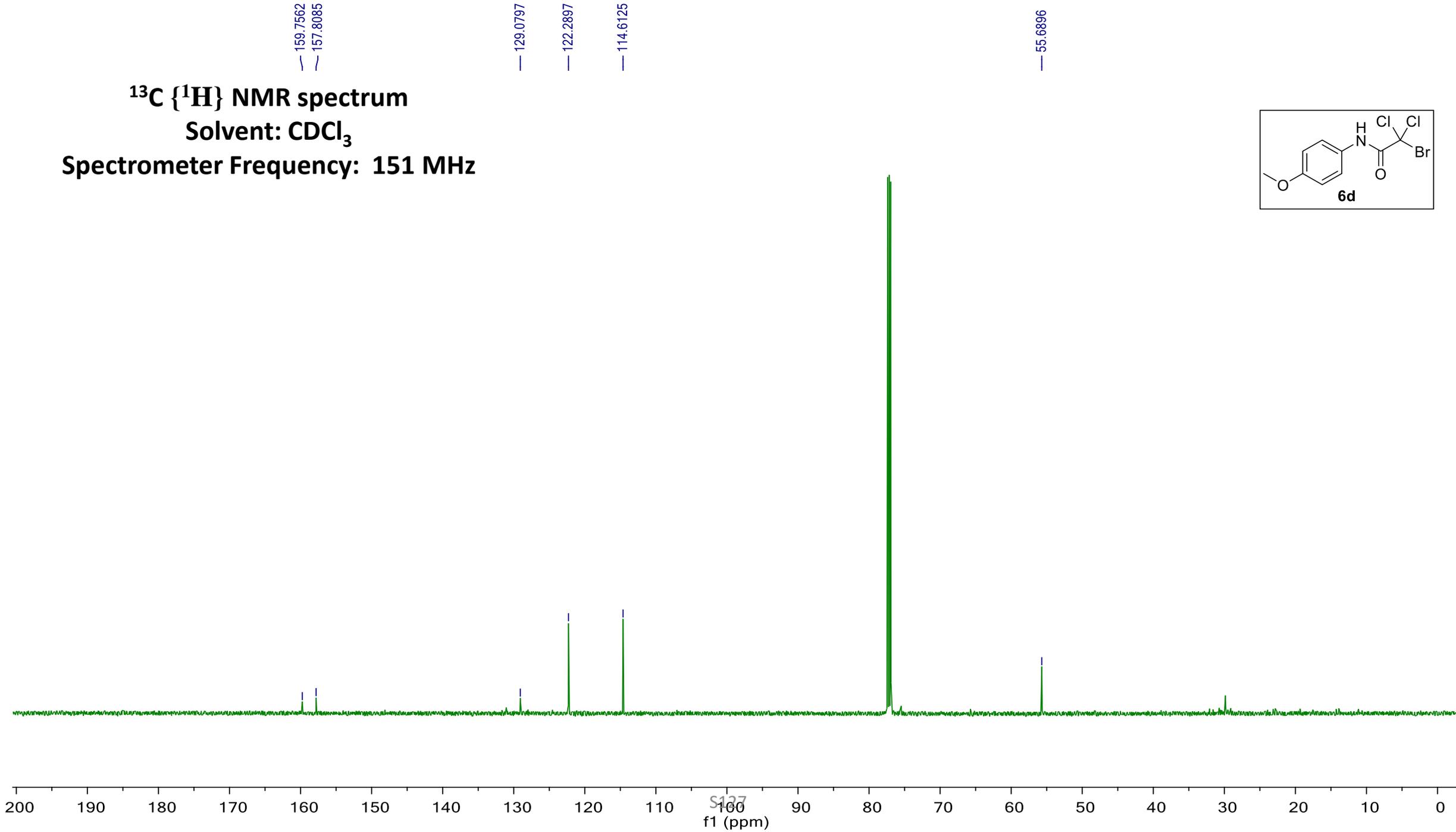
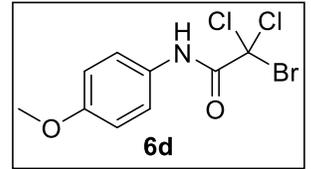
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



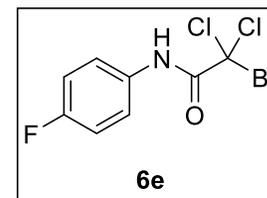
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 600 MHz**



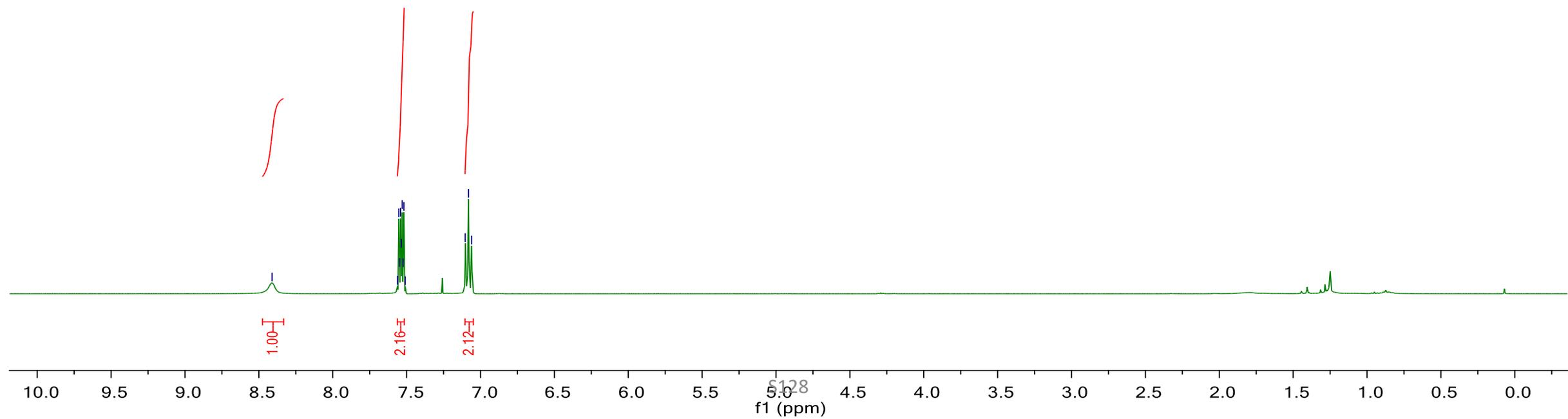
**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 151 MHz**



**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**

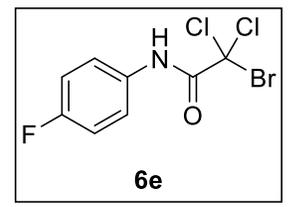


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7.5527  
7.5472  
7.5411  
7.5356  
7.5300  
7.5240  
7.5189  
7.5132  
7.0812  
7.0606

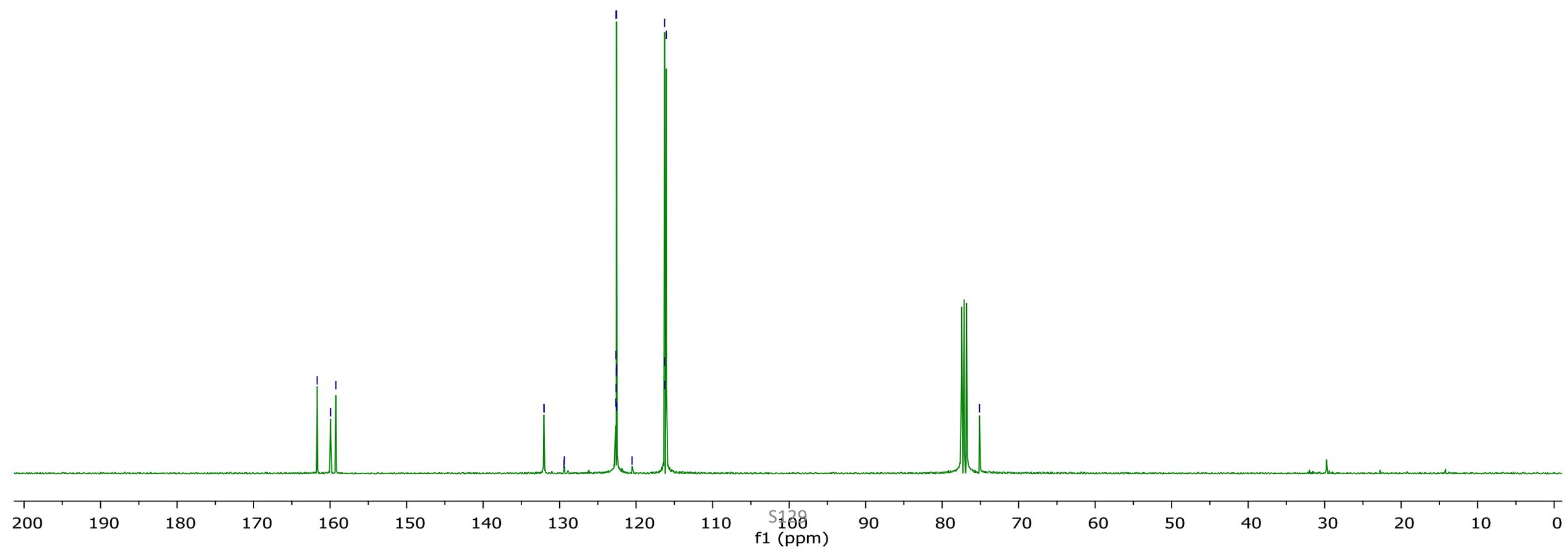


f -scope -aj  
single pulse decoupled gated NOE

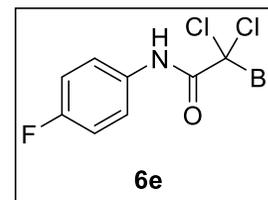
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**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



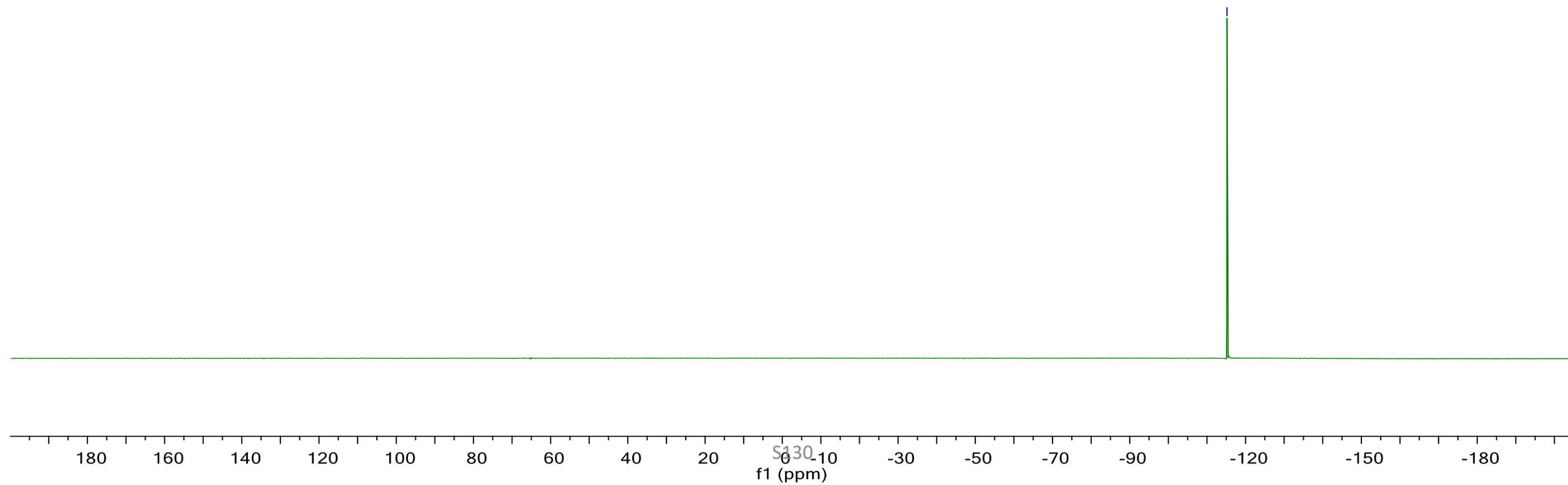
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116.0518  
75.1101



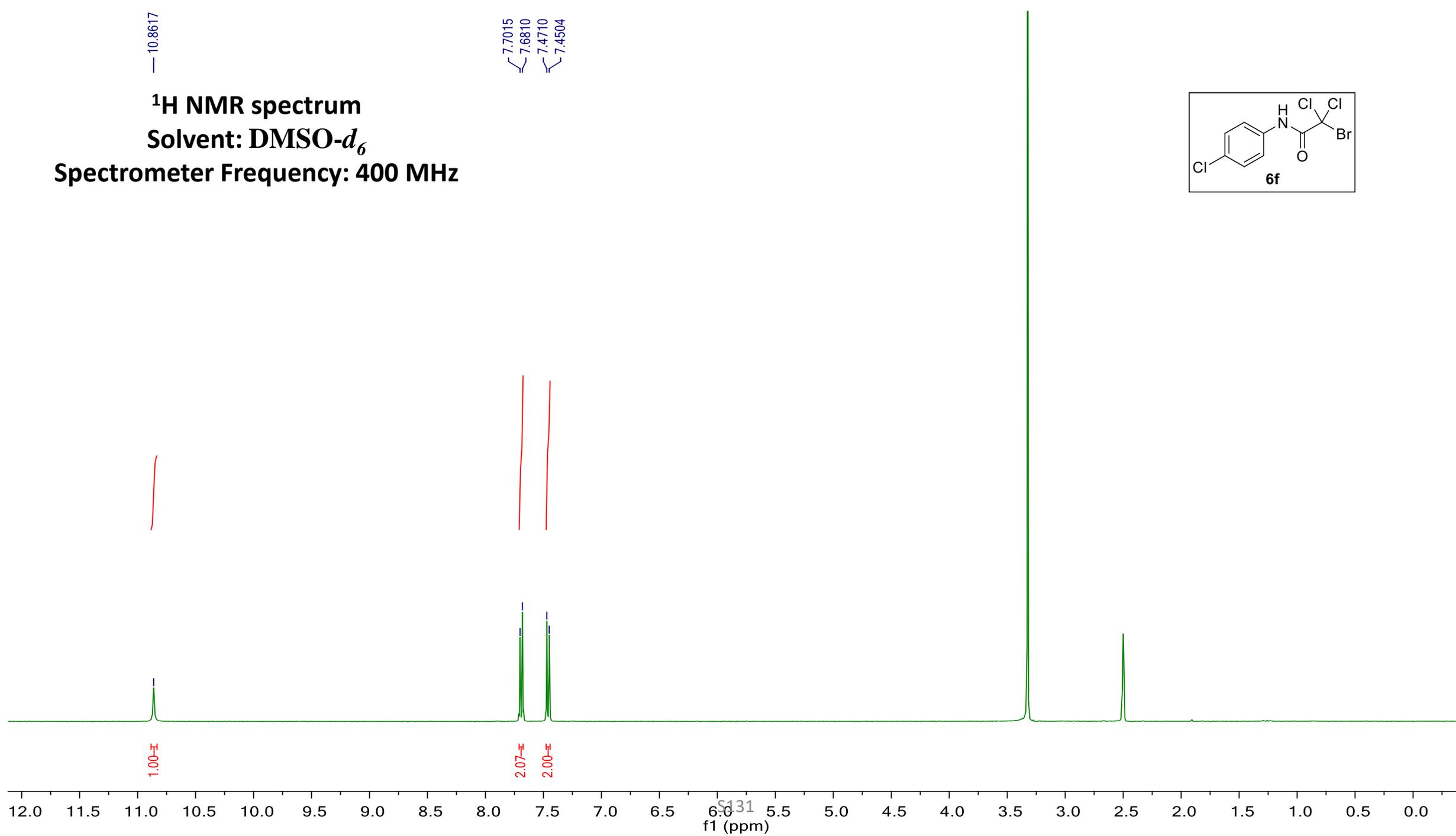
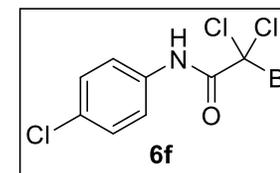
**$^{19}\text{F}\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 376 MHz**



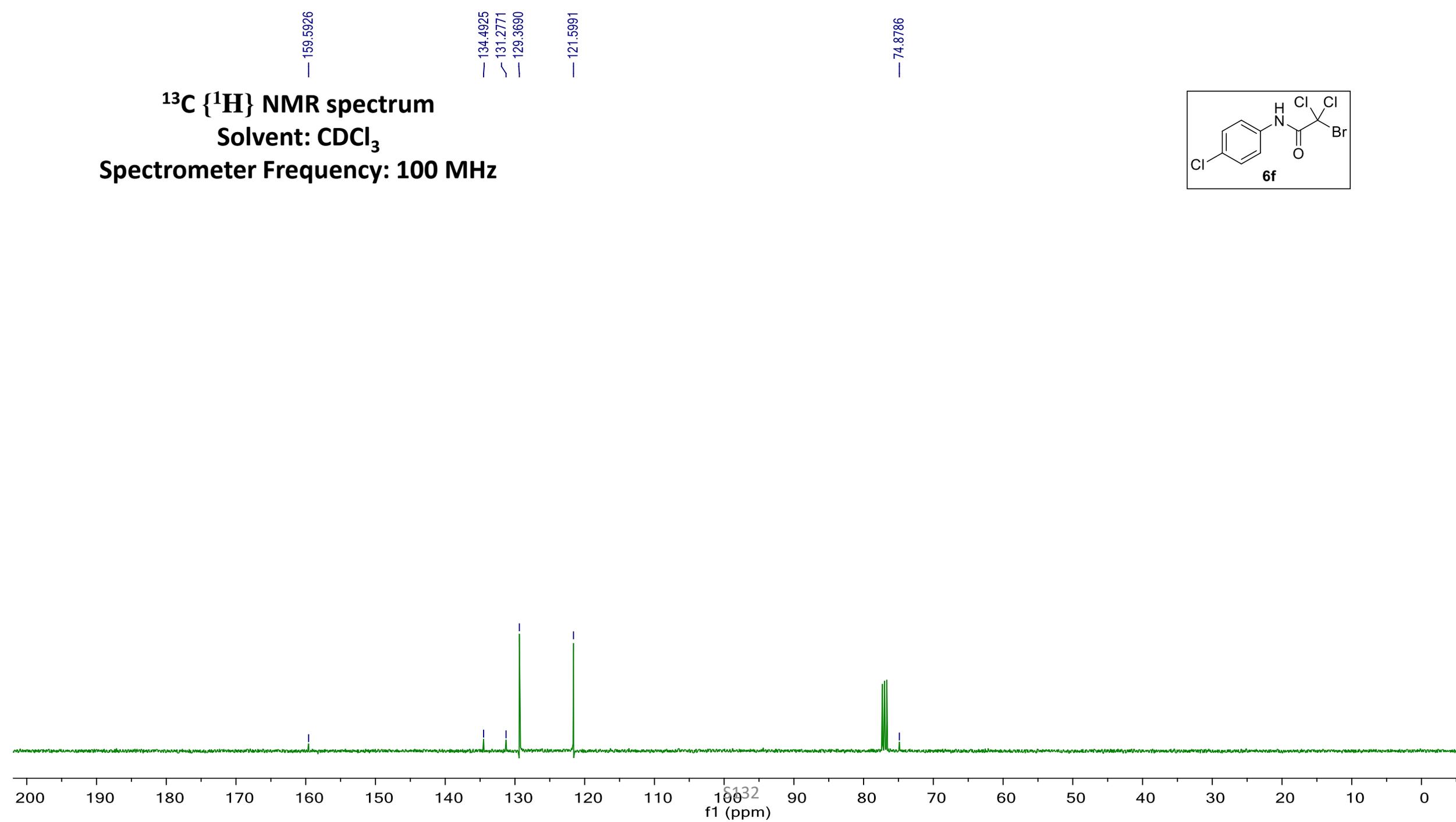
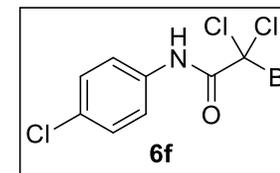
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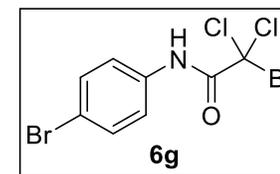
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



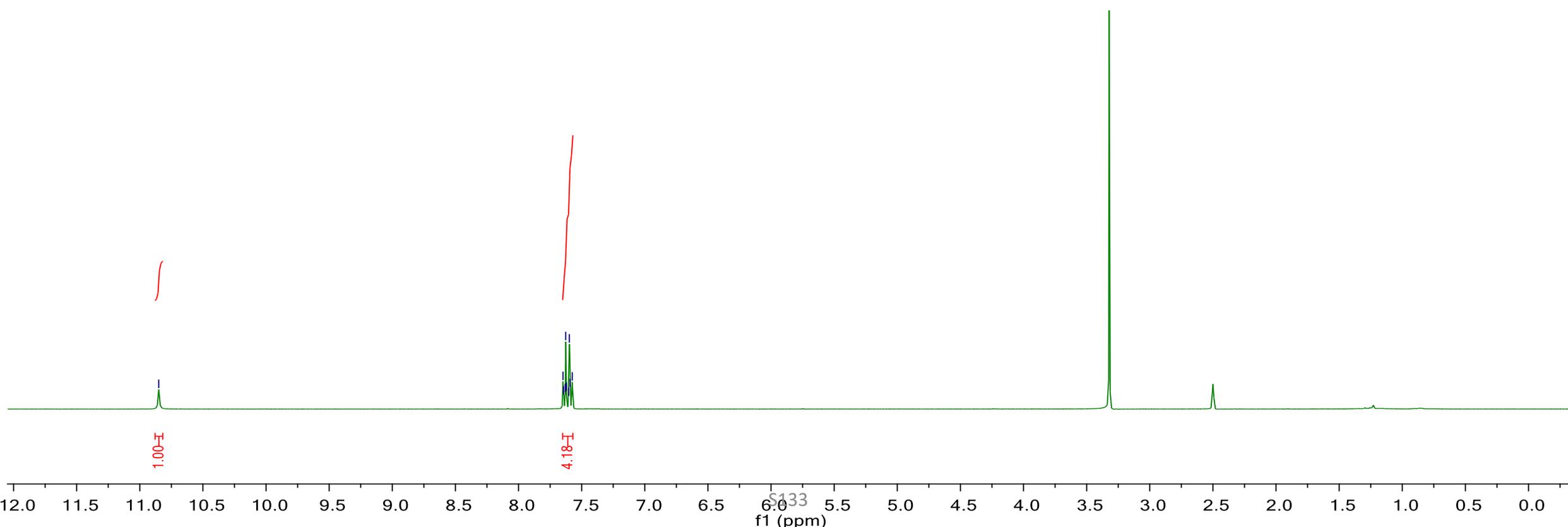
**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



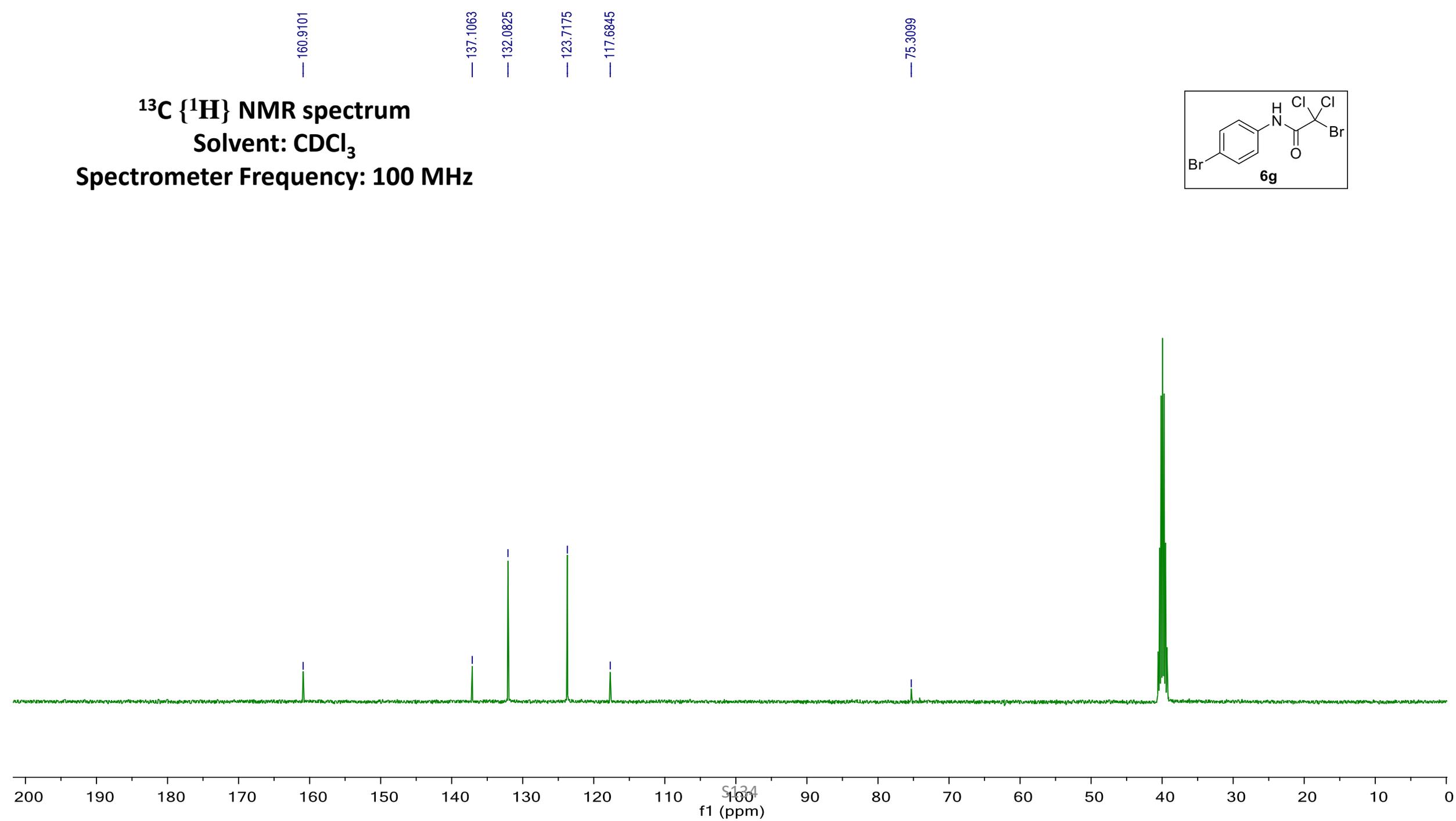
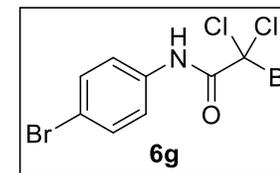
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



10.8503  
7.6477  
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7.6306  
7.6265  
7.6212  
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7.5925  
7.5748

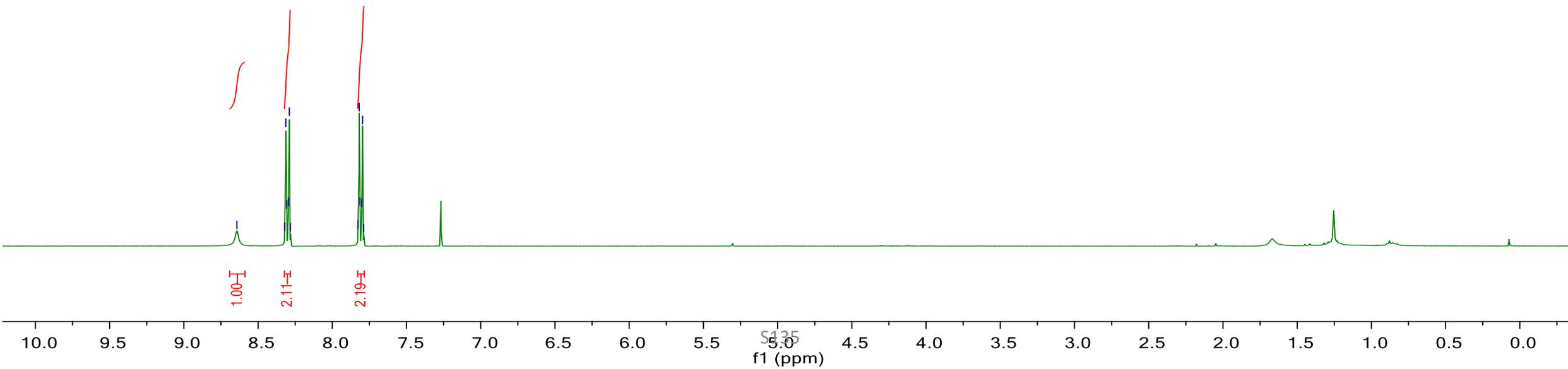
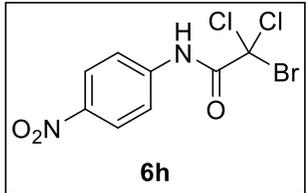


**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

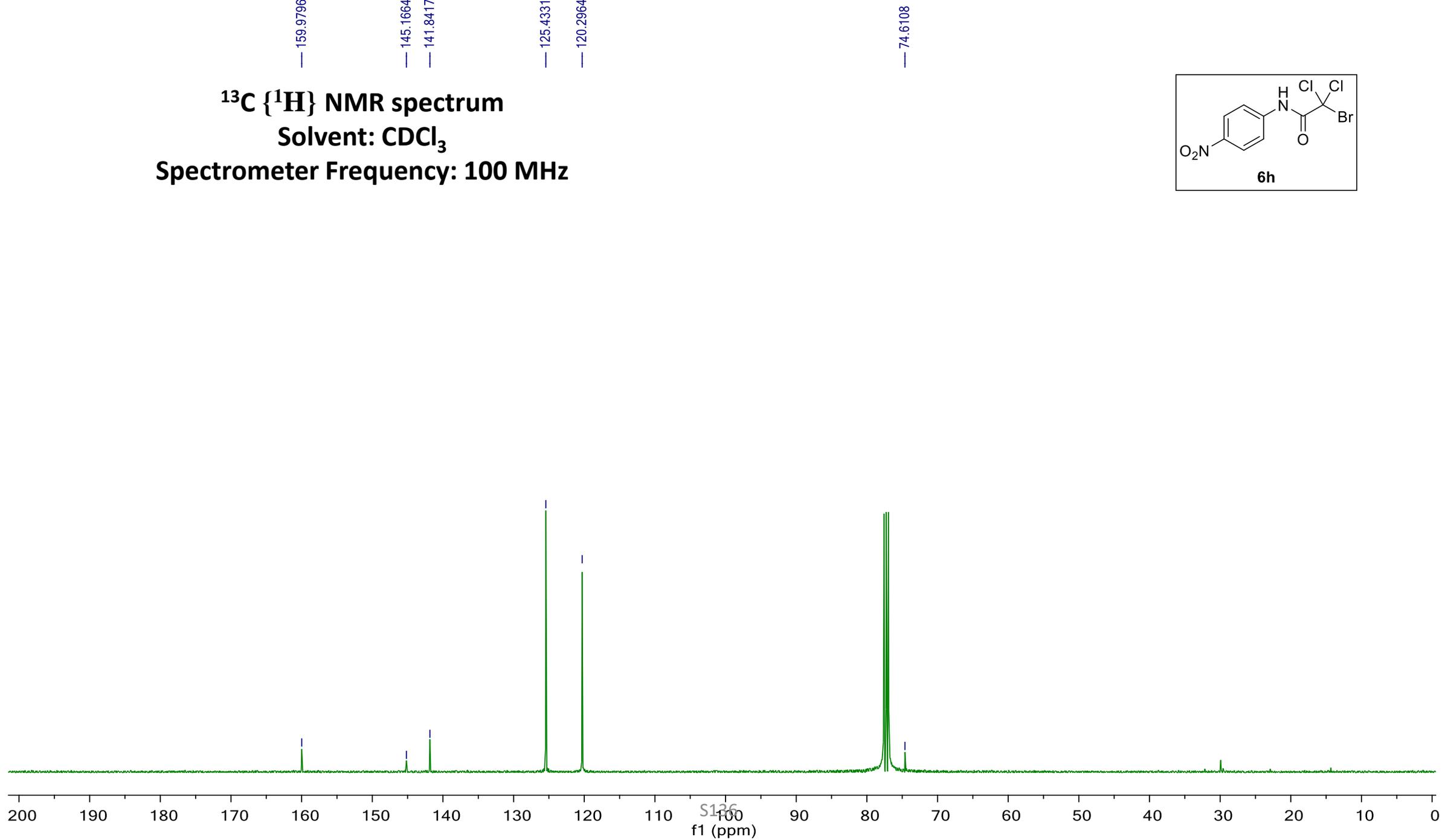
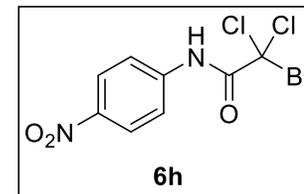


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8.2897  
7.8262  
7.8187  
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7.7957  
7.7883

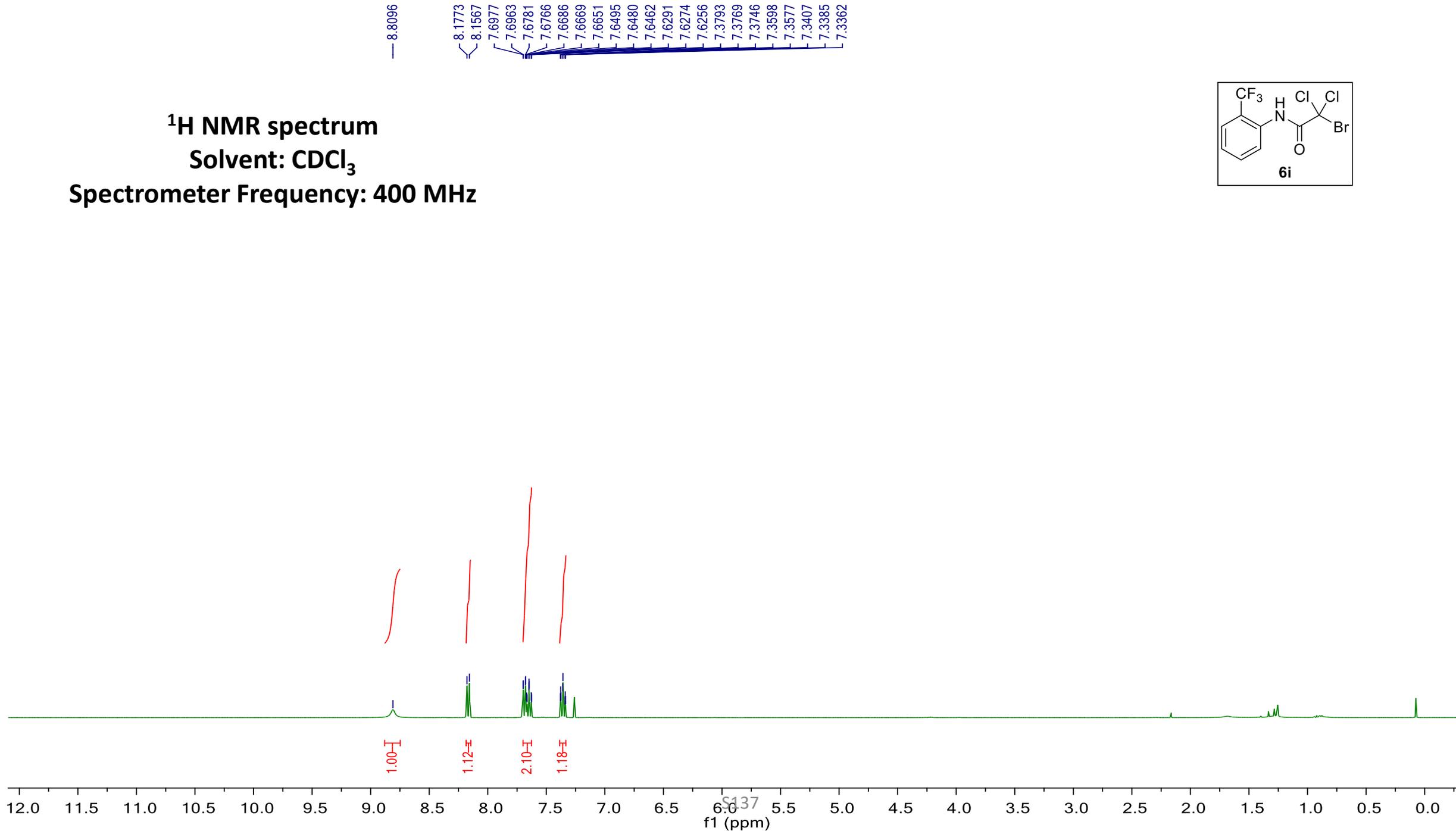
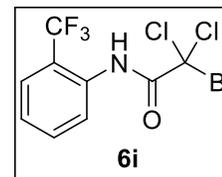
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

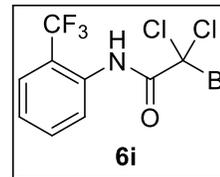


**$^1\text{H}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 400 MHz**



M0755-12  
AJ-II-2i

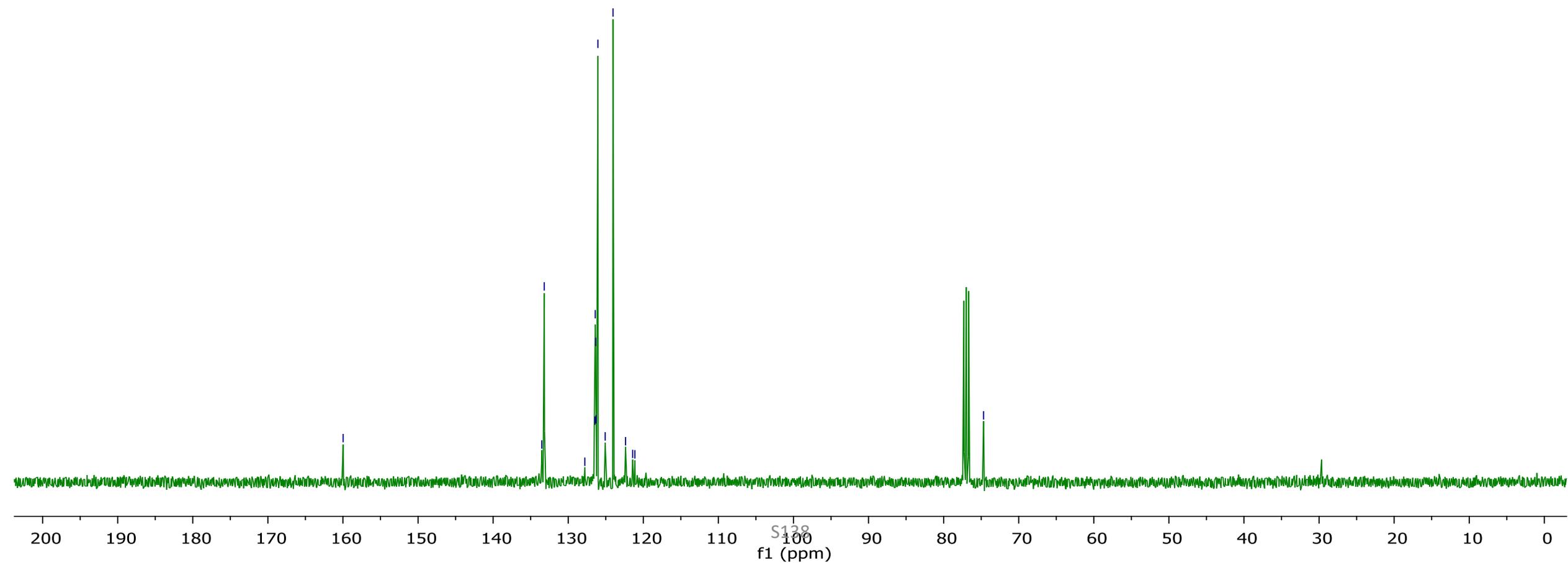
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



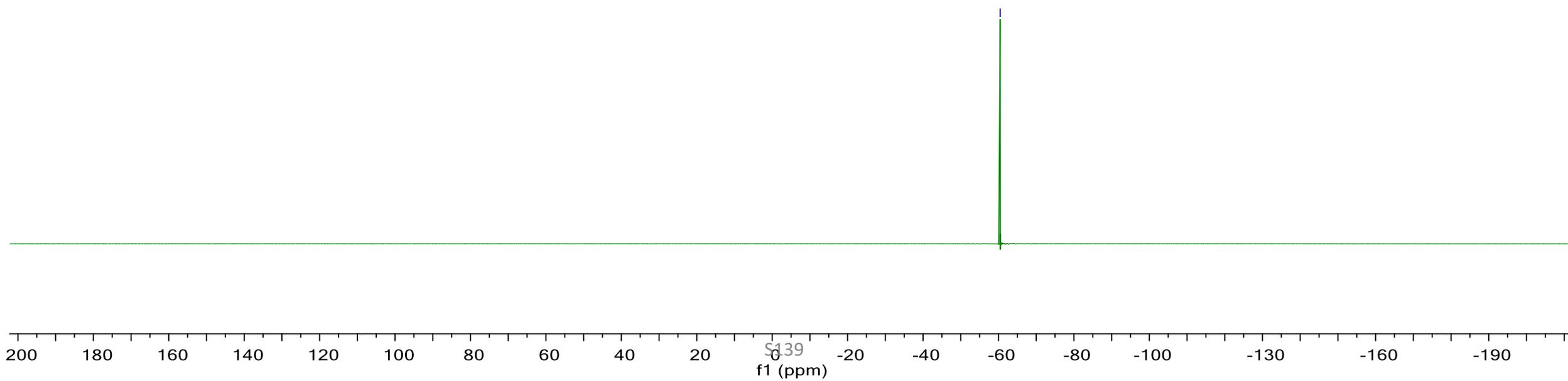
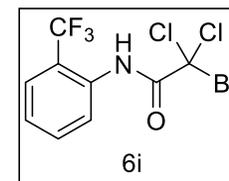
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— 74.6841



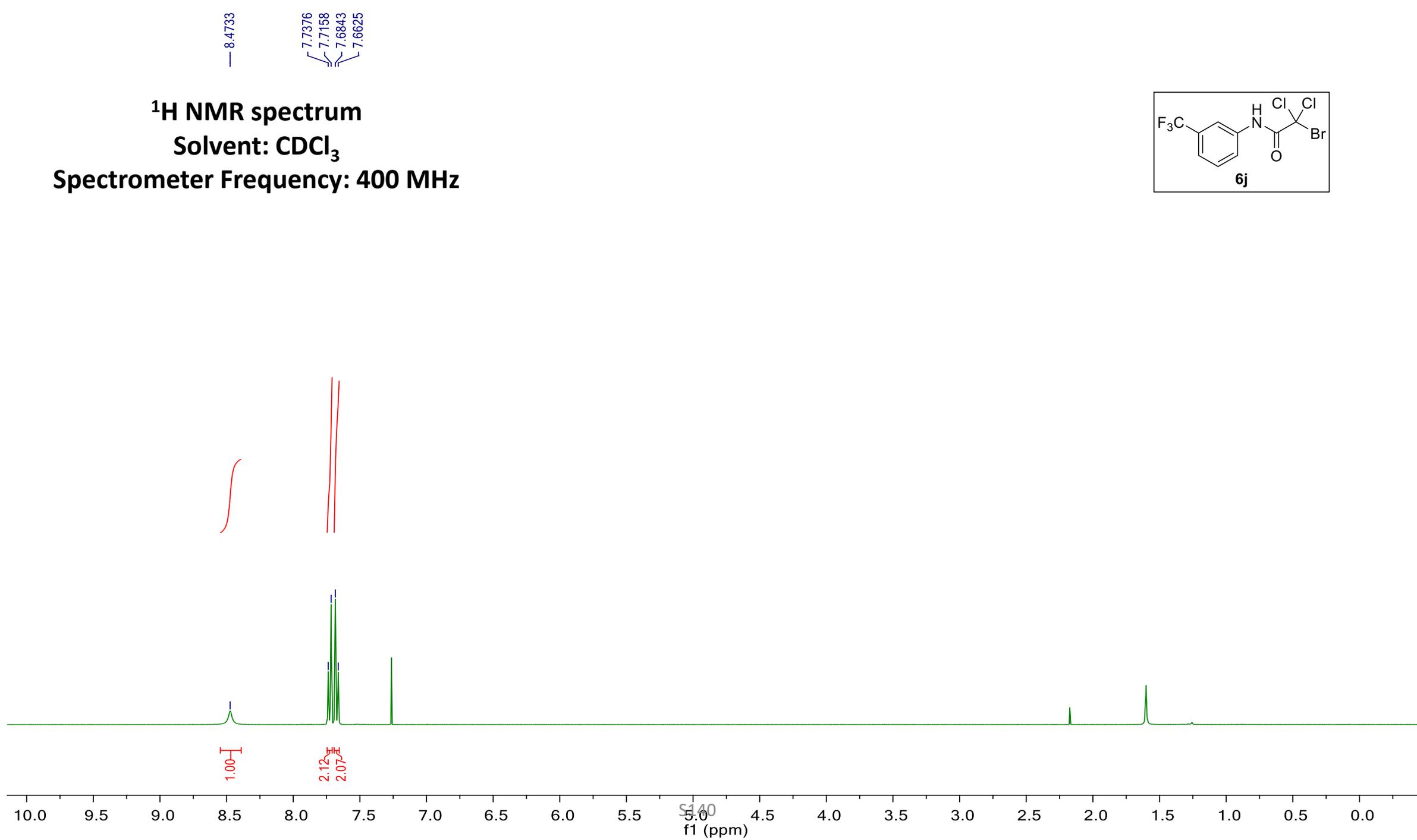
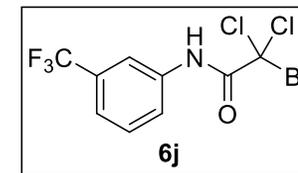
**$^{19}\text{F}\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 376 MHz**



**$^1\text{H}$  NMR spectrum**

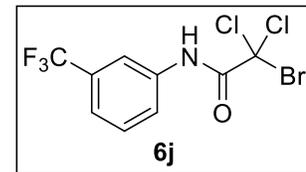
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**Spectrometer Frequency: 400 MHz**

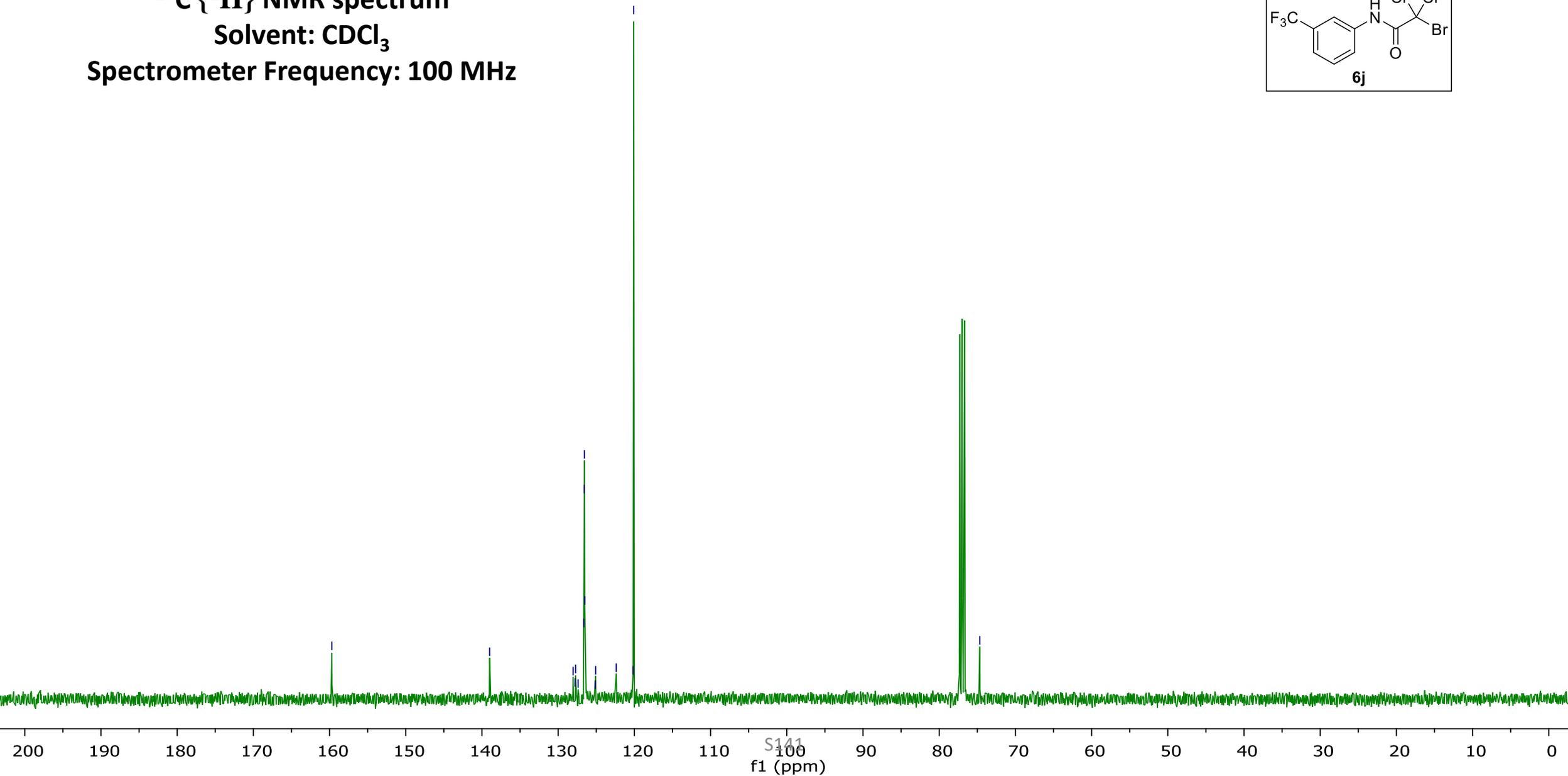


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AJ-II-2j

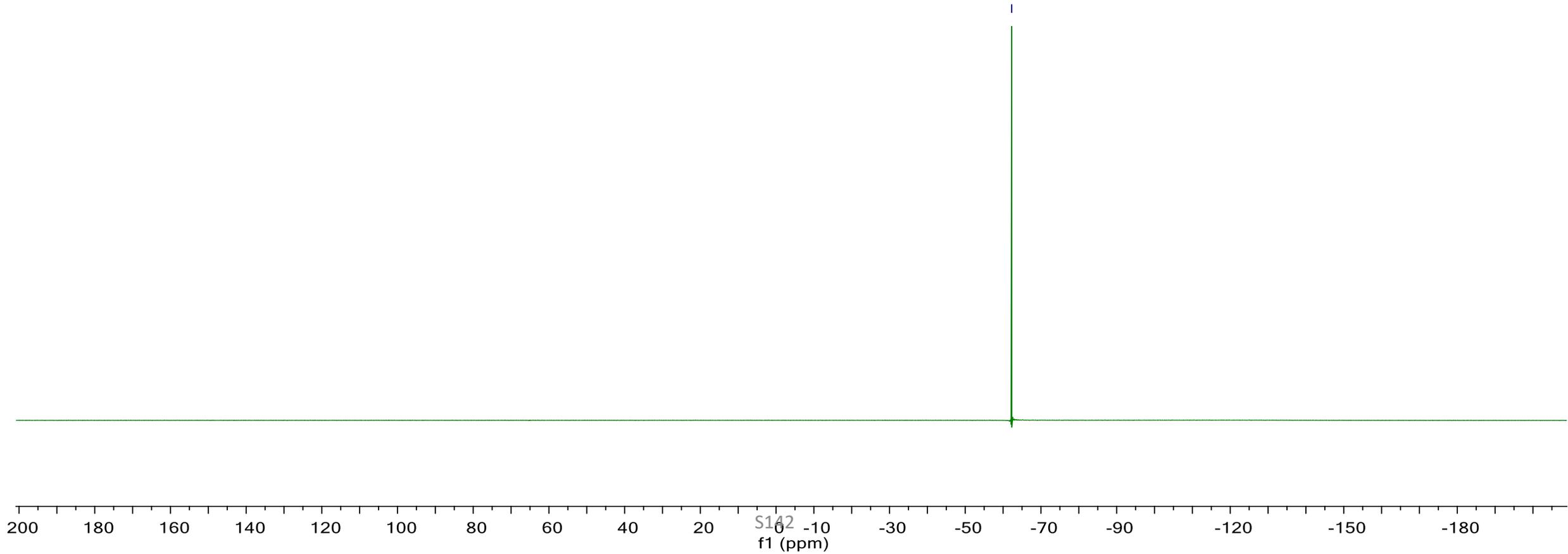
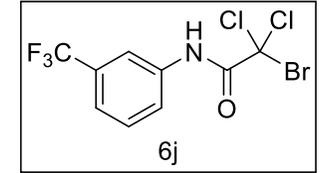
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



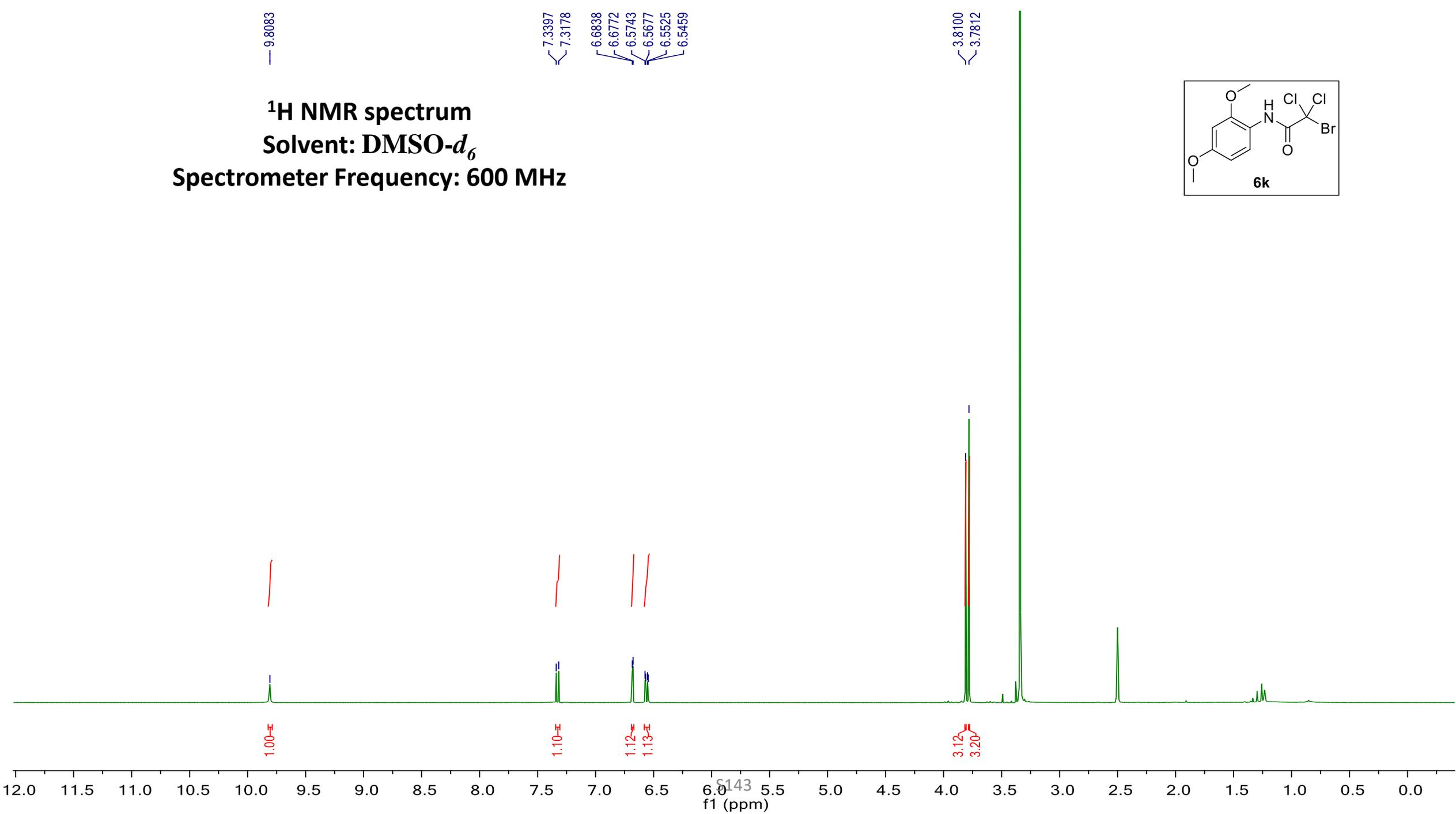
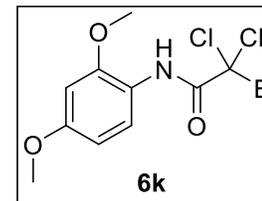
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74.6786



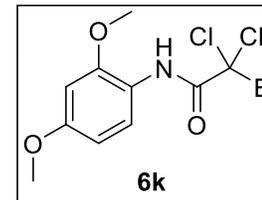
**$^{19}\text{F}\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 376 MHz**



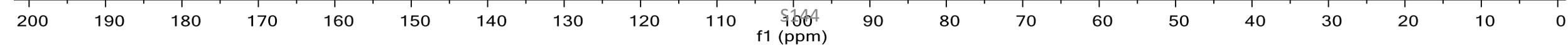
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 600 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{DMSO-}d_6$**   
**Spectrometer Frequency: 151 MHz**

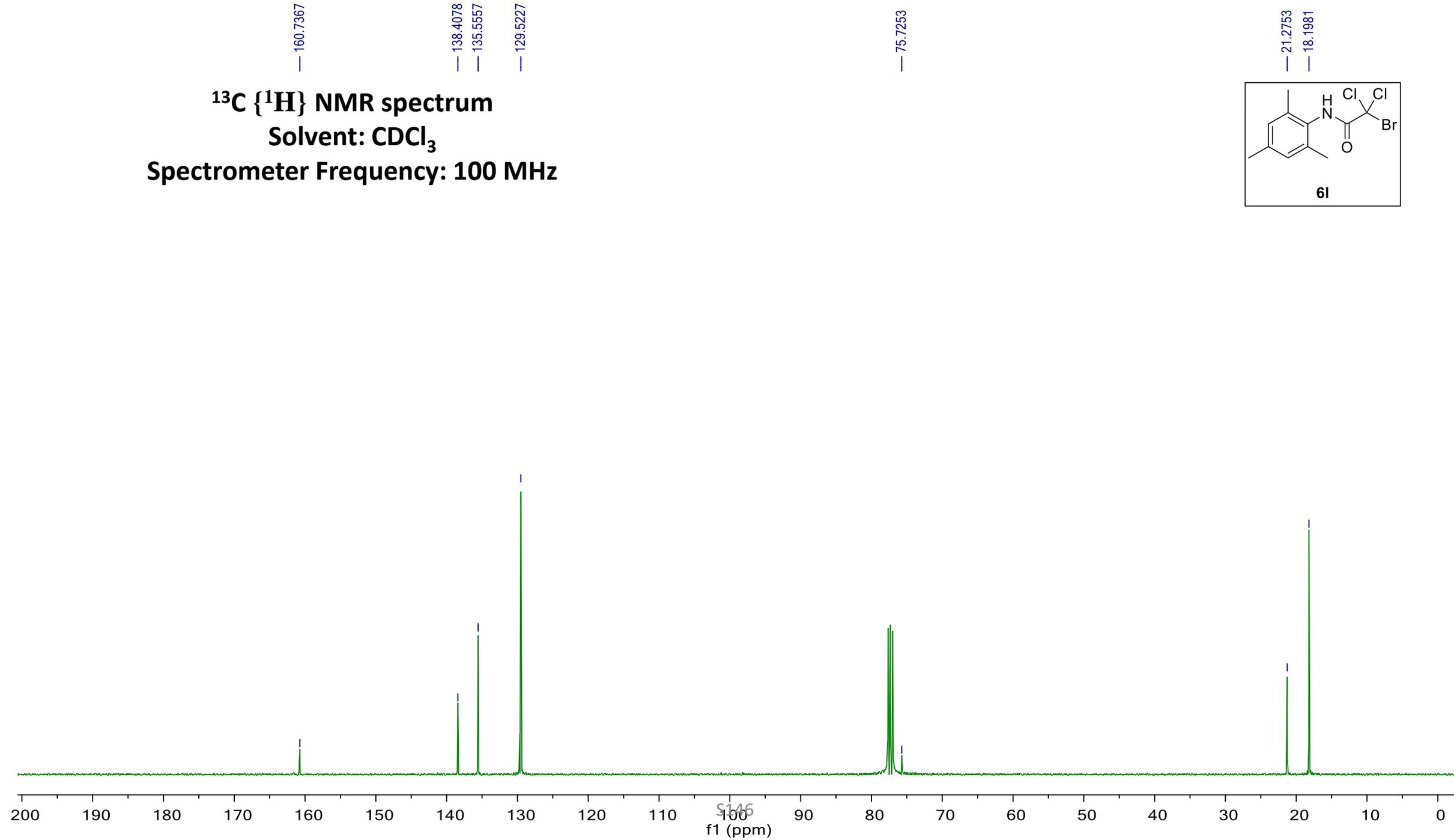
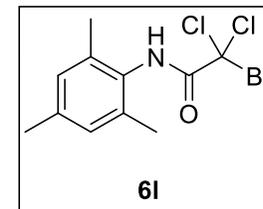


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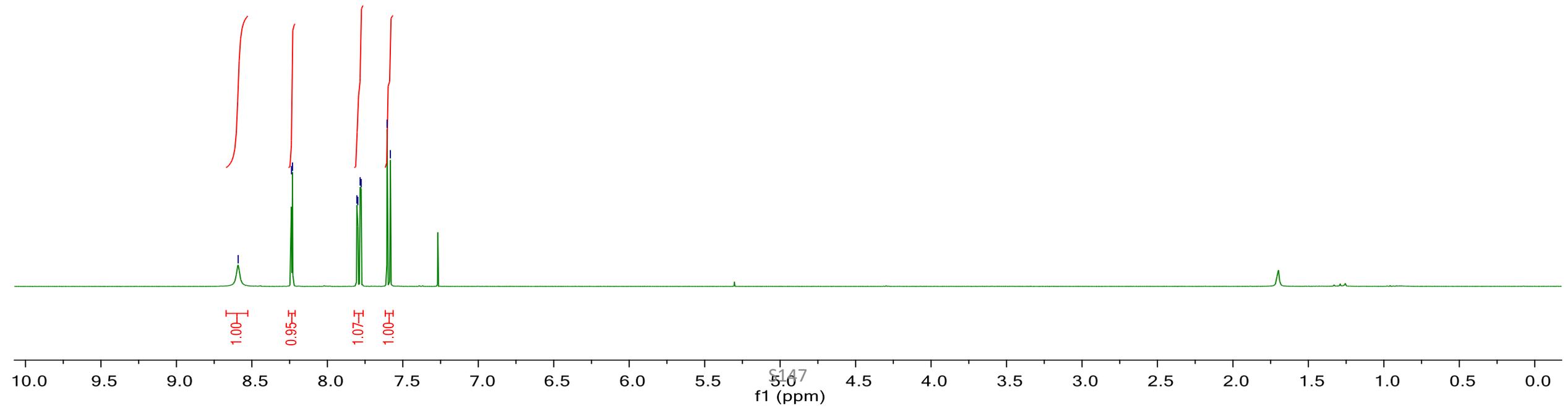
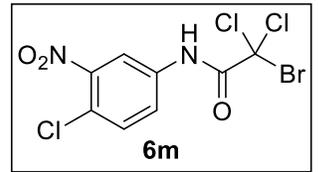


**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

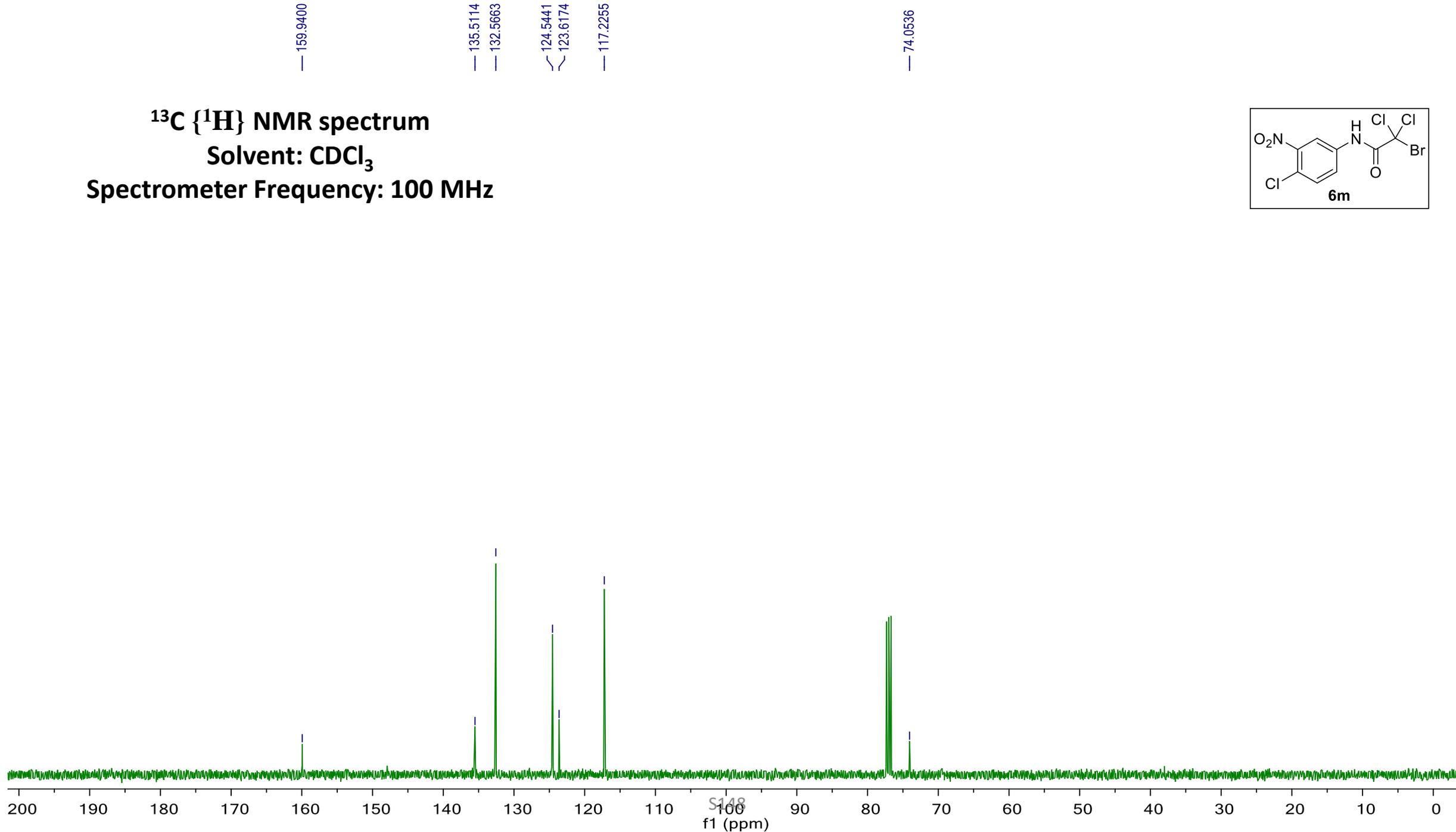
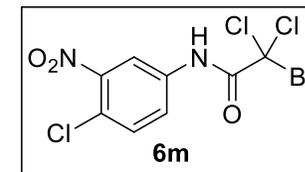


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8.2374 8.2309  
7.8045 7.7979 7.7825 7.7759  
7.6042 7.5823

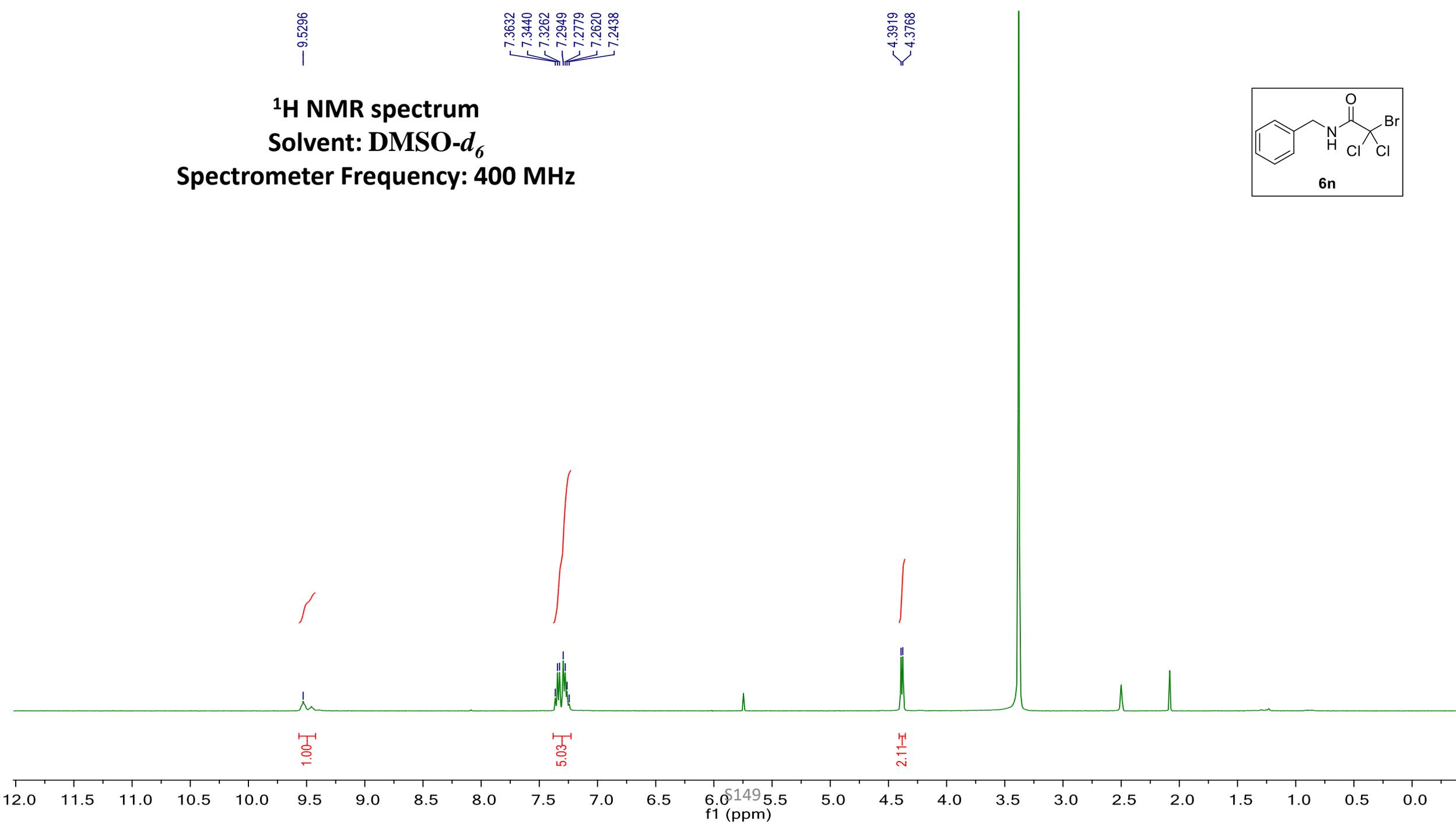
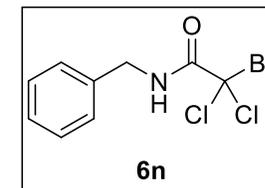
**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**



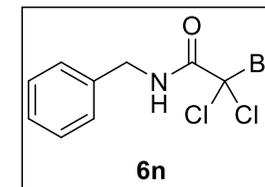
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**



— 162.4109

— 136.4800

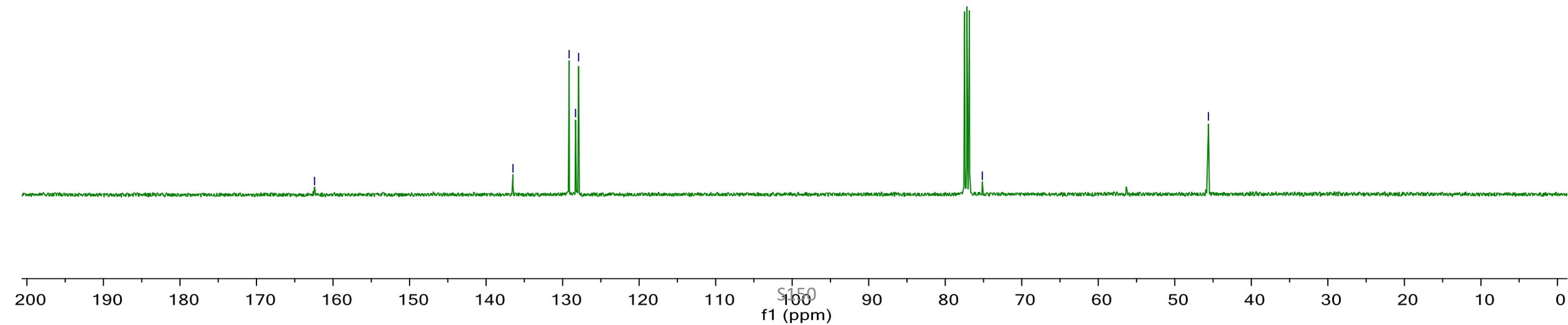
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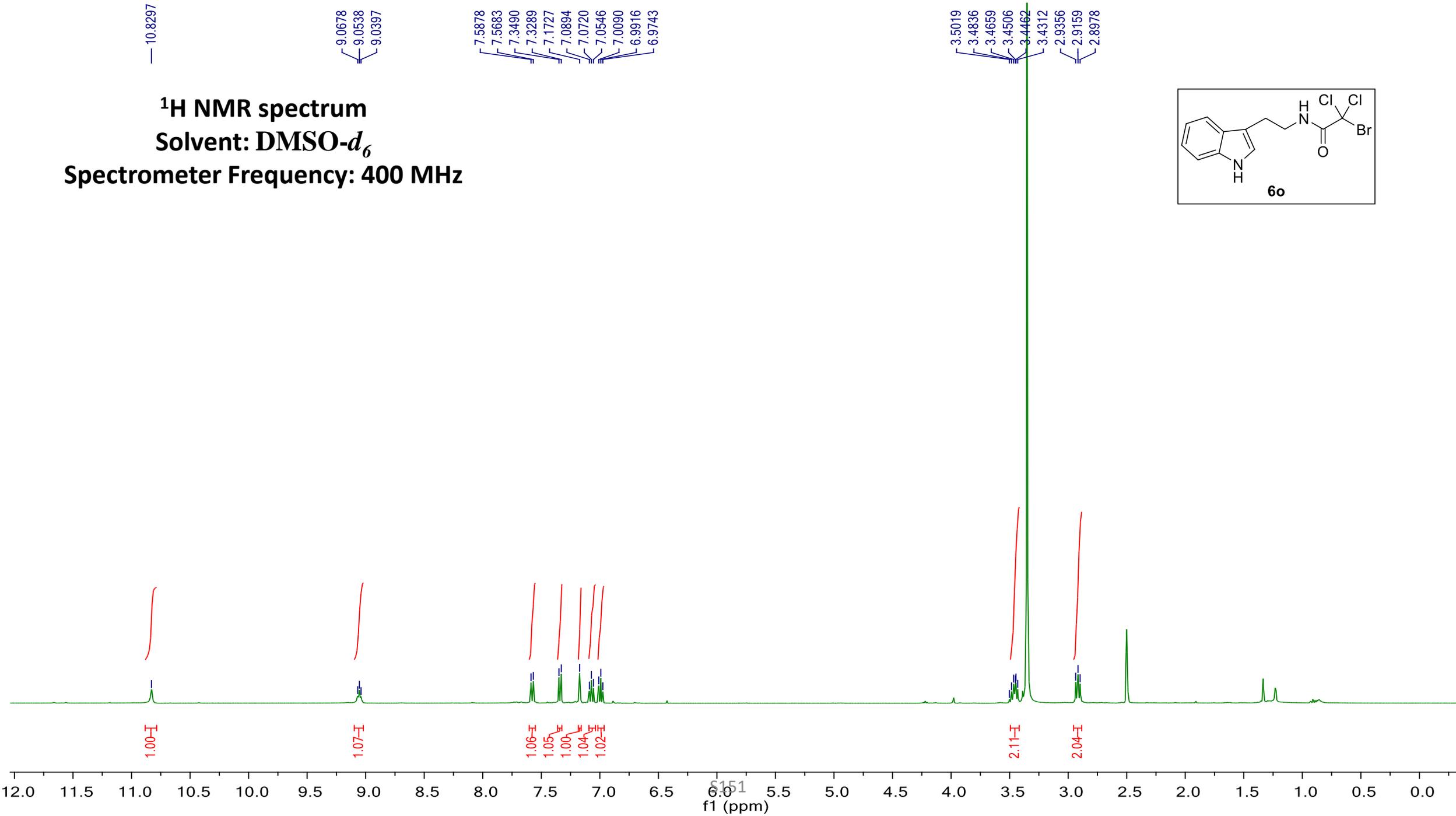
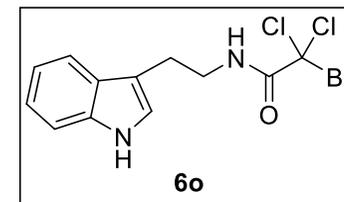
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— 75.1553

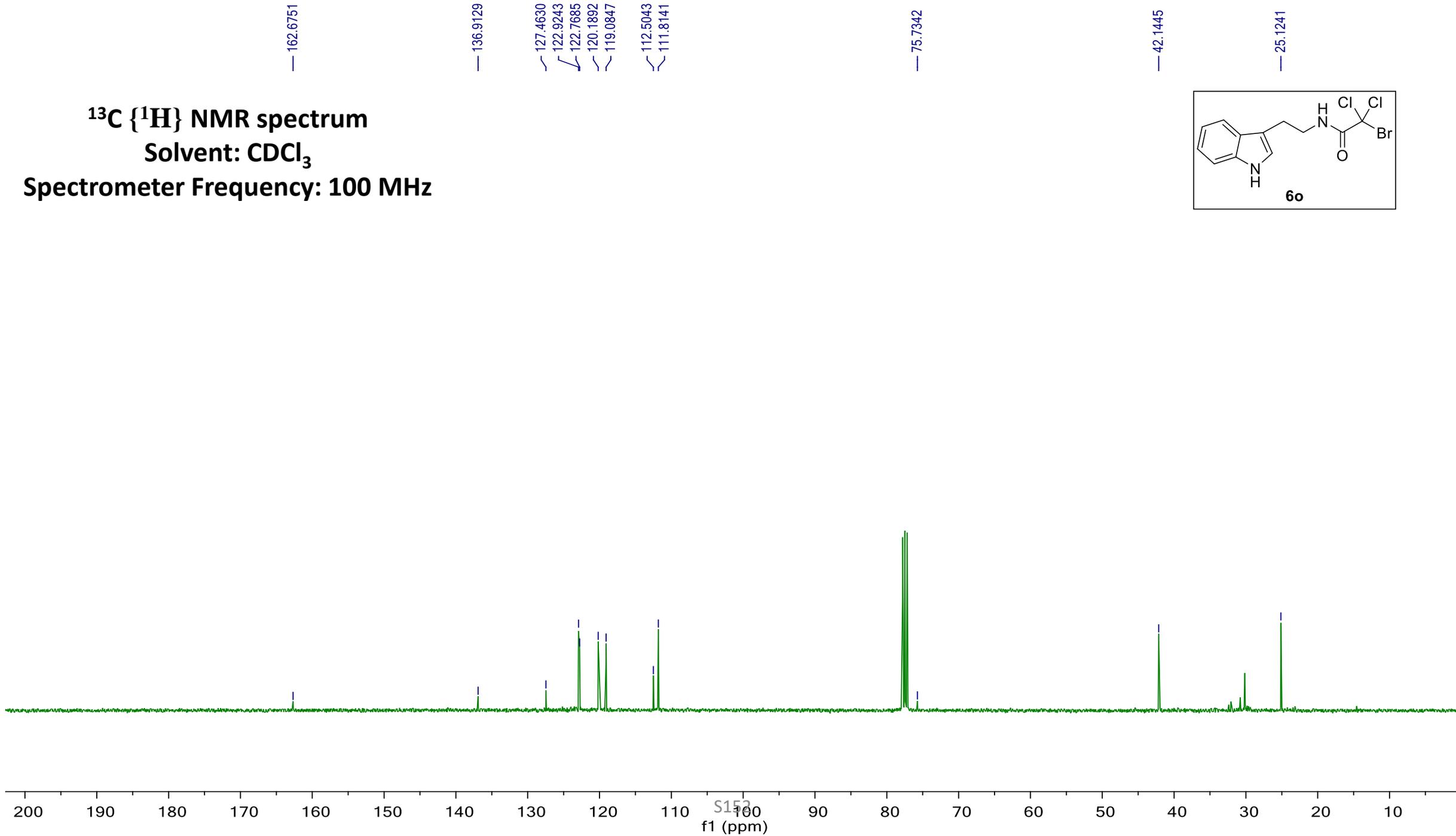
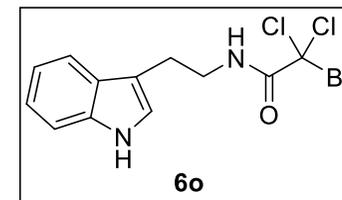
— 45.6047

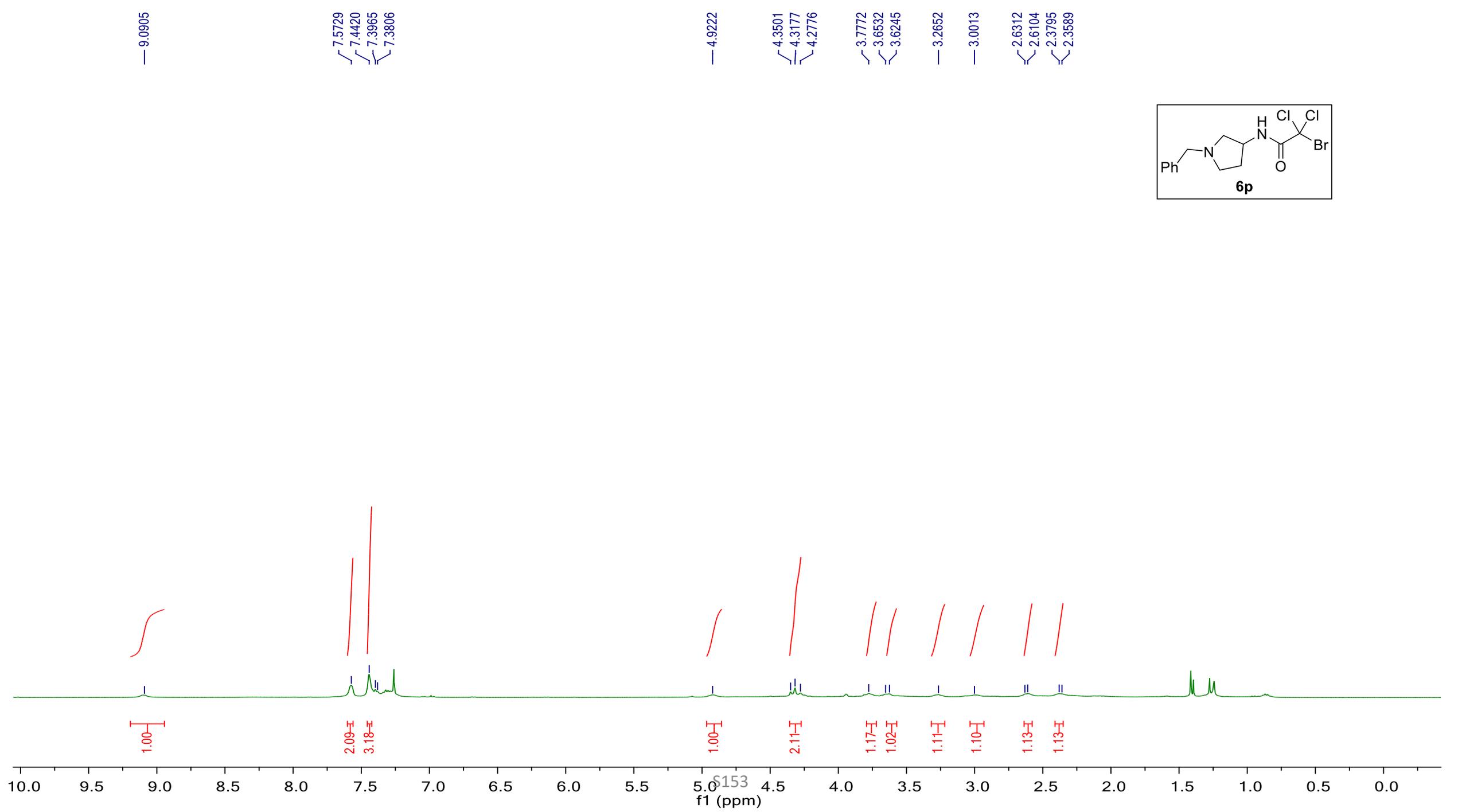


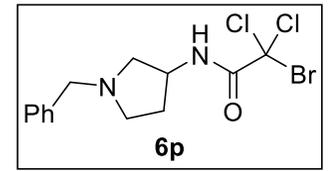
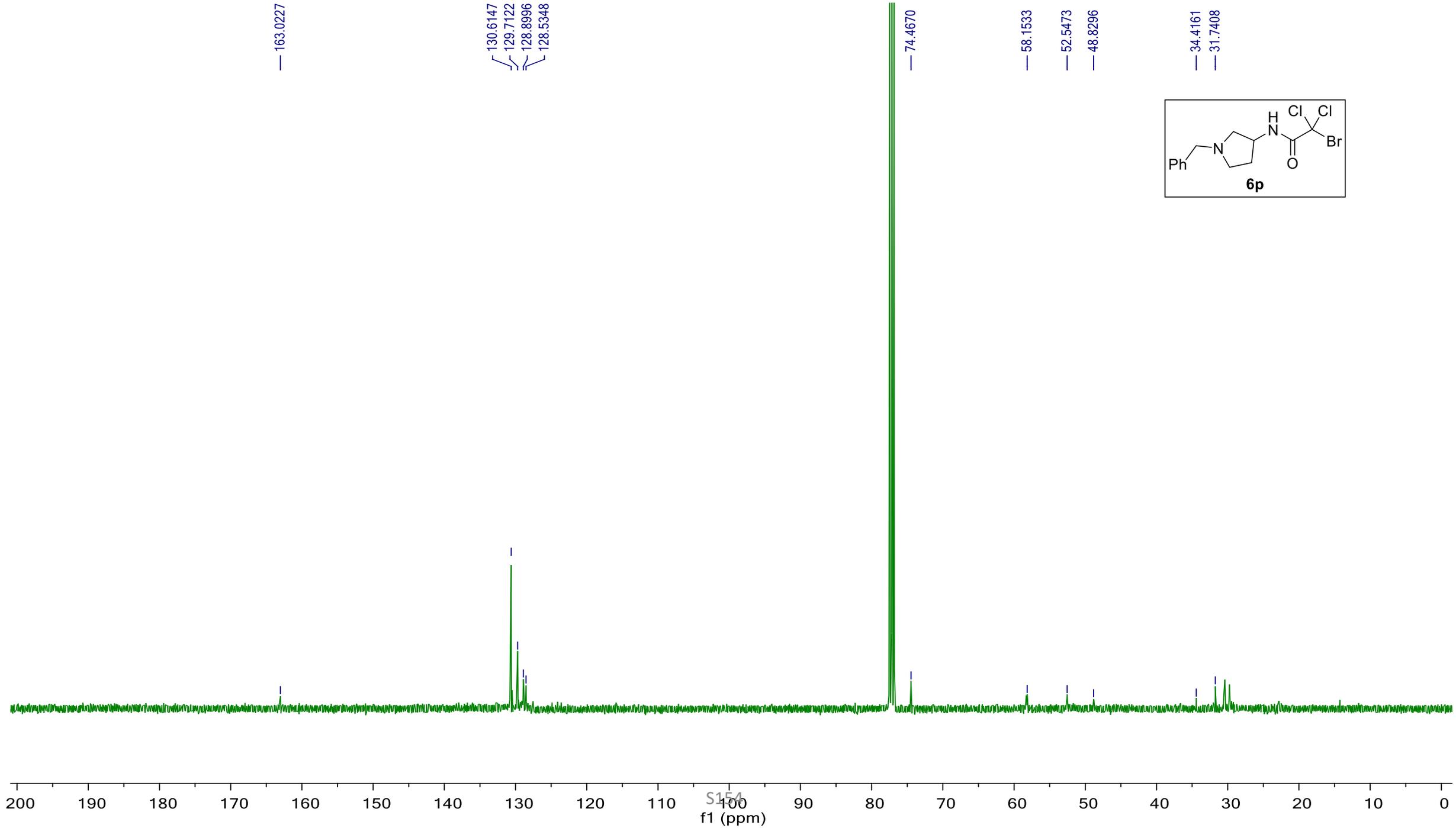
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**

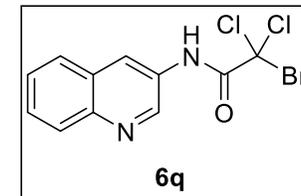


**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent:  $\text{CDCl}_3$**   
**Spectrometer Frequency: 100 MHz**

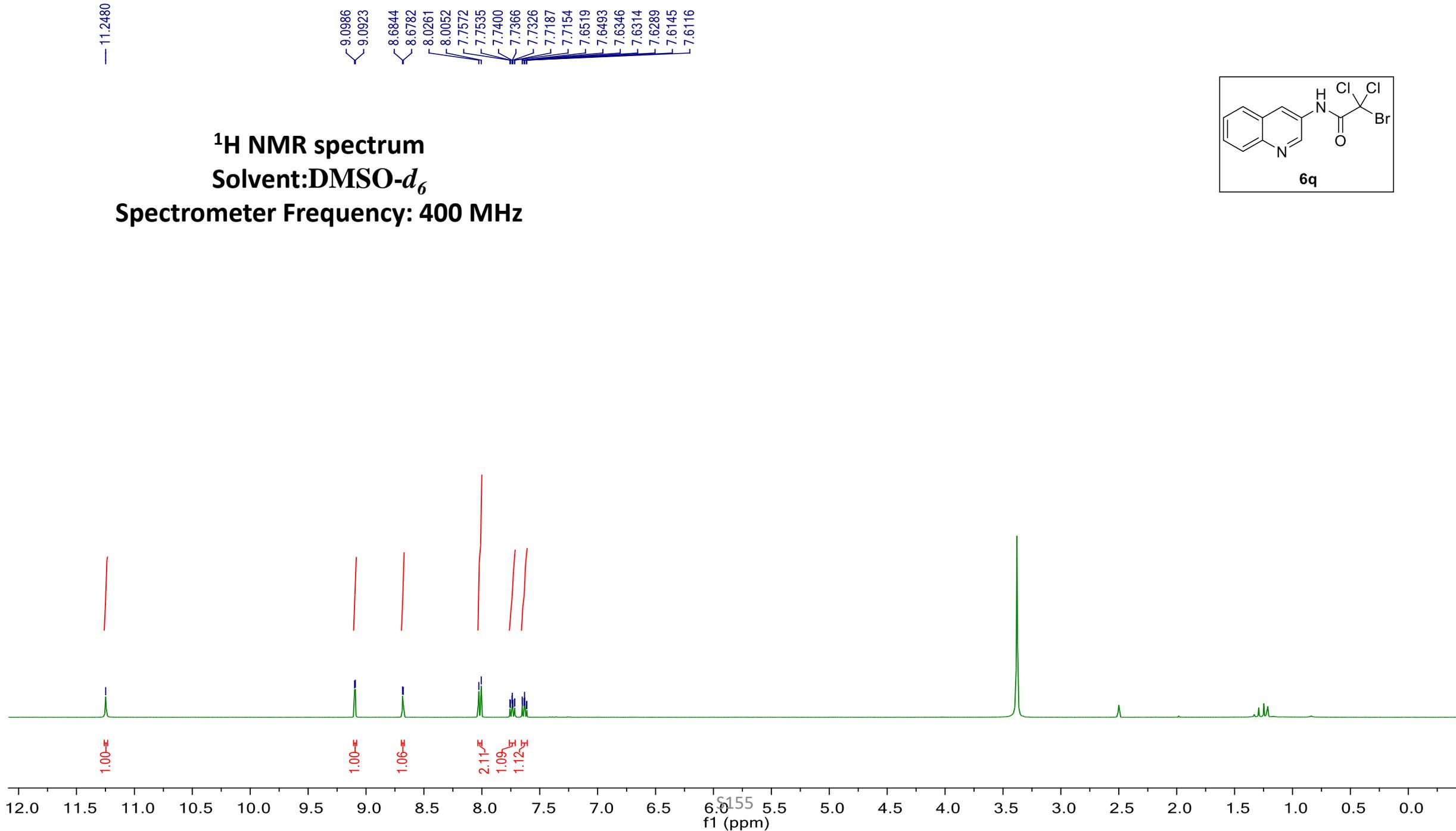








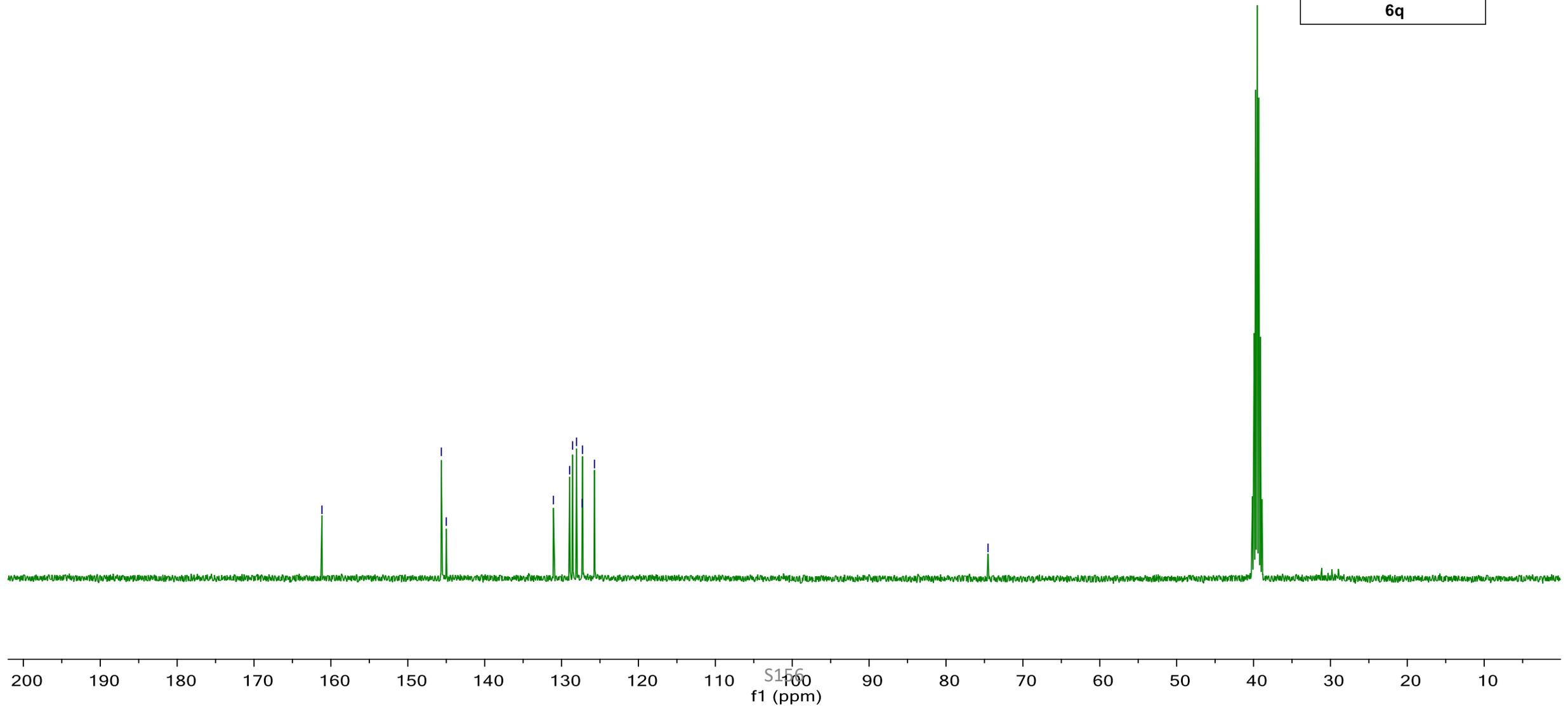
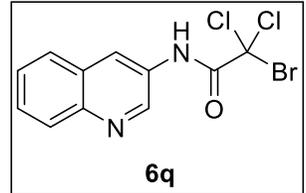
**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



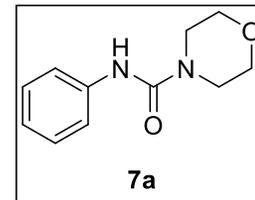
**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**

161.1640  
145.6413  
145.0000  
131.0593  
128.9511  
128.5704  
128.0559  
127.3360  
127.2751  
125.7175

74.5359

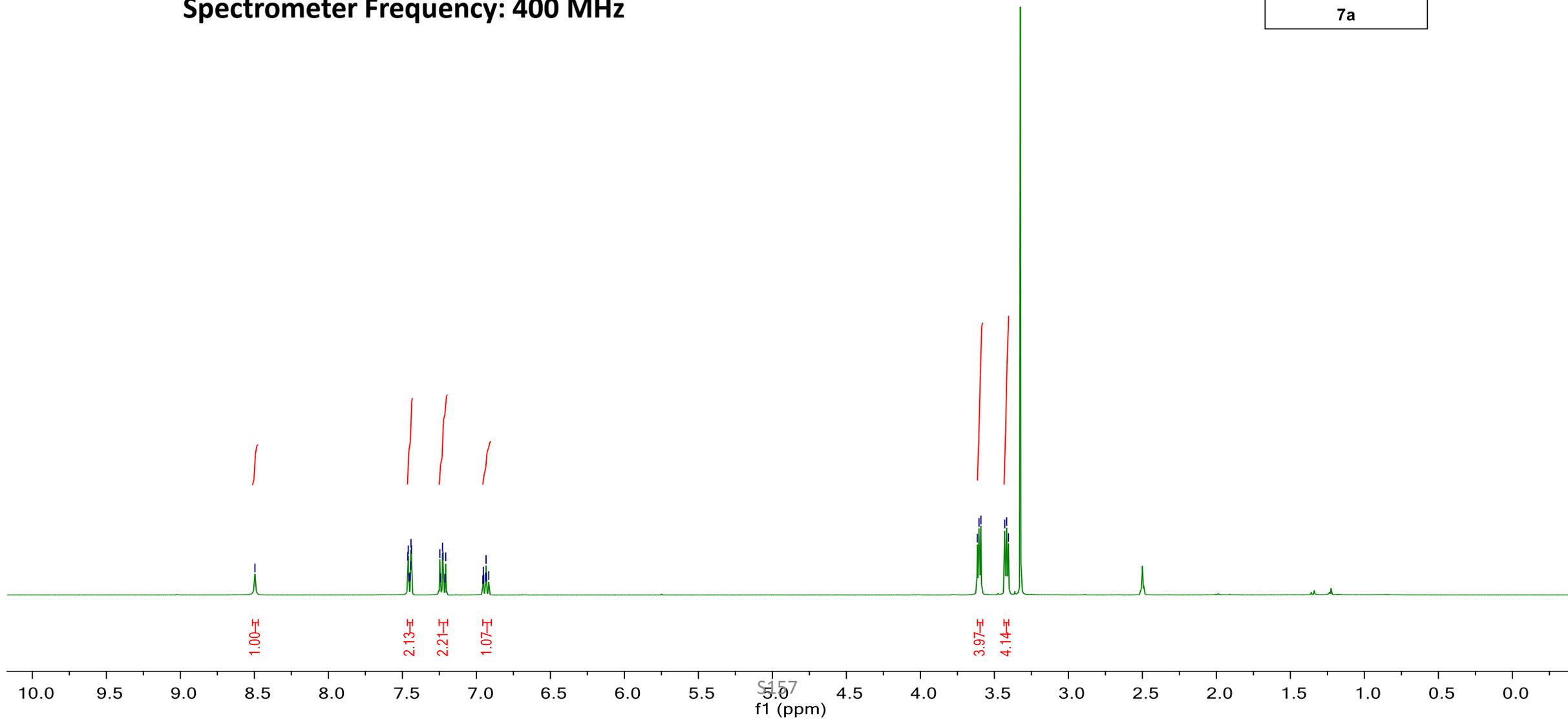


**<sup>1</sup>H NMR spectrum**  
**Solvent: CDCl<sub>3</sub>**  
**Spectrometer Frequency: 400 MHz**

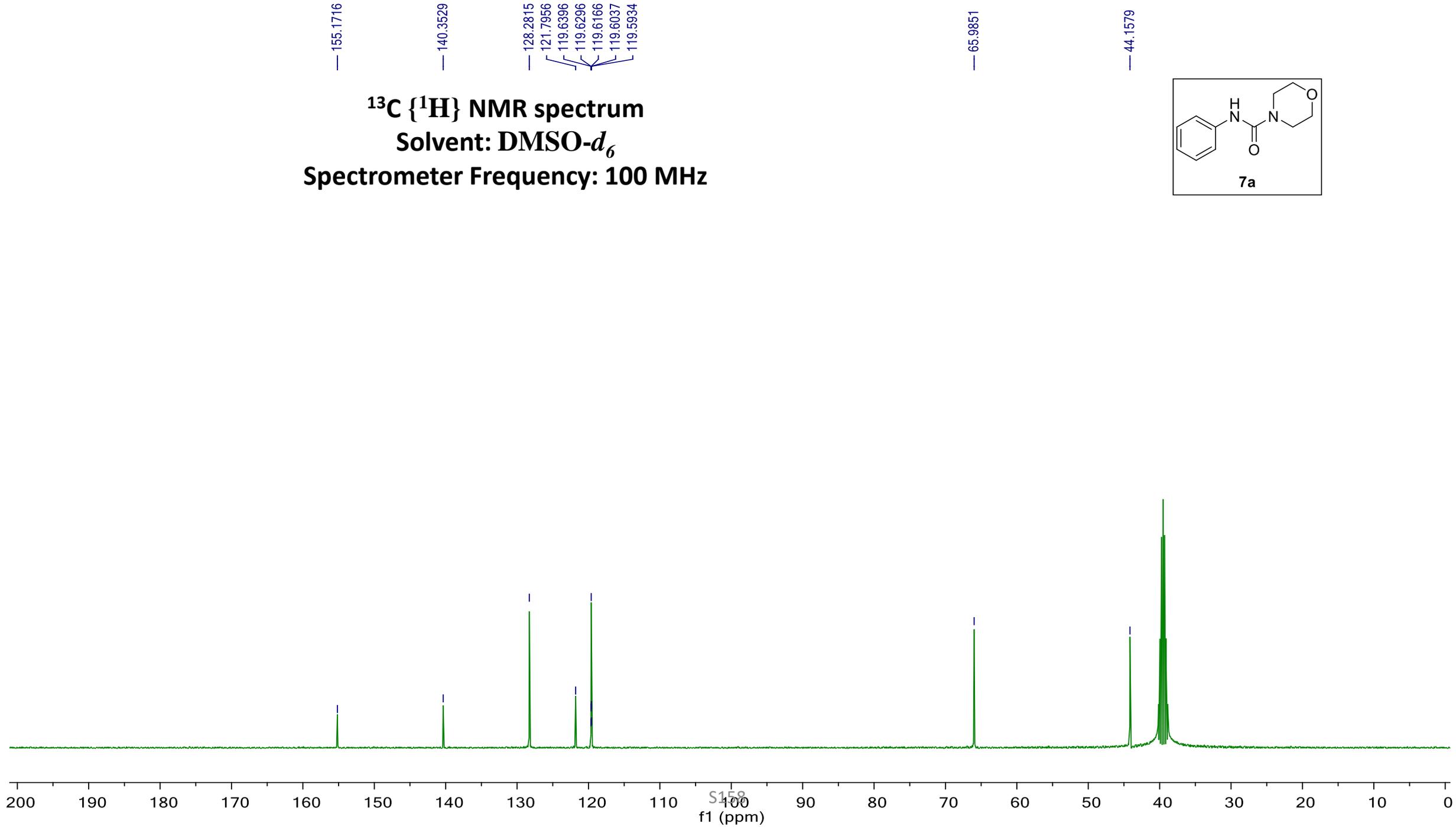
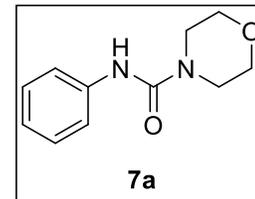


8.4961  
7.4634  
7.4605  
7.4555  
7.4465  
7.4437  
7.4416  
7.4389  
7.2467  
7.2417  
7.2283  
7.2255  
7.2116  
7.2069  
6.9557  
6.9528  
6.9499  
6.9370  
6.9345  
6.9320  
6.9161

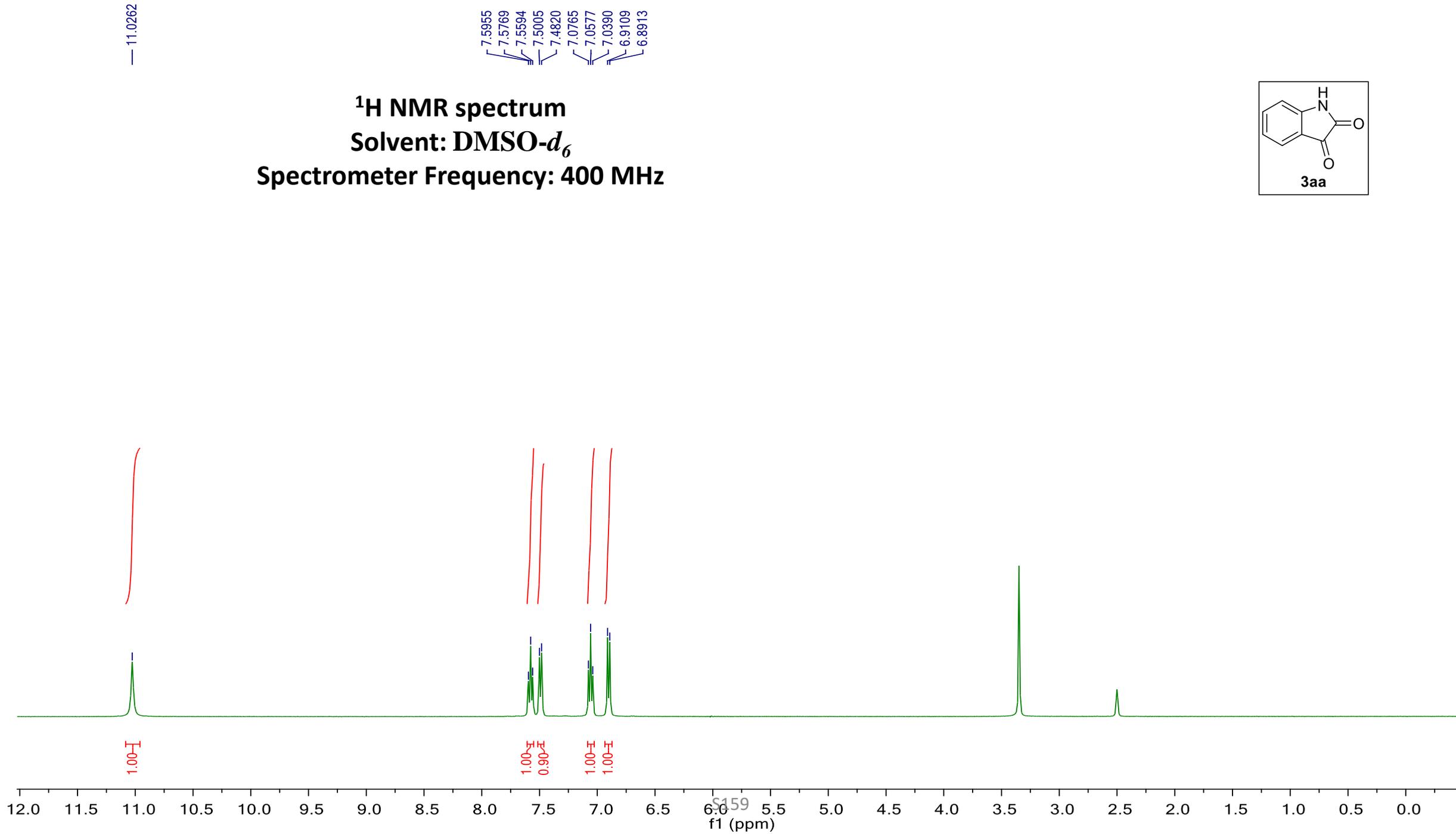
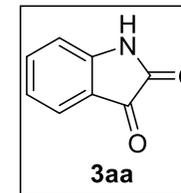
3.6150  
3.6035  
3.5908  
3.4295  
3.4169  
3.4052



**$^{13}\text{C}$   $\{^1\text{H}\}$  NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**



**<sup>1</sup>H NMR spectrum**  
**Solvent: DMSO-*d*<sub>6</sub>**  
**Spectrometer Frequency: 400 MHz**



**$^{13}\text{C}$  { $^1\text{H}$ } NMR spectrum**  
**Solvent: DMSO- $d_6$**   
**Spectrometer Frequency: 100 MHz**

