

## Supporting Information

### **Pd(II)-Catalyzed Regionselective Ring Opening/[3+2] Annulation Reaction of Enaminones with Cyclopropenones: Divergent Synthesis of $\gamma$ -Butenolides and $\gamma$ -Lactams**

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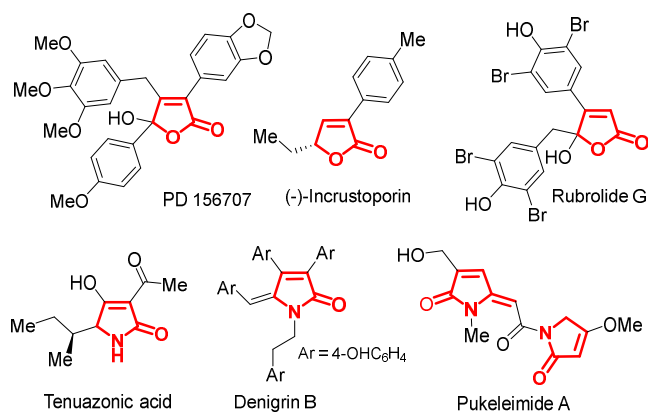
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## 1. General information.

All compounds were fully characterized by spectroscopic data. The NMR spectra were recorded on a DRX600 ( $^1\text{H}$ : 500 MHz and 600 MHz,  $^{13}\text{C}$ : 125 MHz and 150 MHz), chemical shifts ( $\delta$ ) are expressed in ppm, and  $J$  values are given in Hz, and deuterated  $\text{CDCl}_3$  and  $\text{DMSO-}d_6$  were used as solvent. The reactions were monitored by thin layer chromatography (TLC) using silica gel GF<sub>254</sub>. The melting points were determined on XT-4A melting point apparatus and are uncorrected. HRMs were performed on an Agilent LC/MS TOF instrument.

All chemicals and solvents were used as received without further purification unless otherwise stated. Column chromatography was performed on silica gel (200–300 mesh).

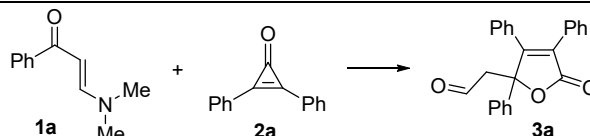
Enaminones **1** were prepared according to the literature<sup>1</sup>, cyclopropanones **2** were prepared according to the literature<sup>2</sup>, other reagents were purchased from Energy Chemical and Adamas-beta®.



**Figure S1.** Representative natural  $\gamma$ -butenolides and  $\gamma$ -lactams.

## 2. Optimization of reaction conditions.

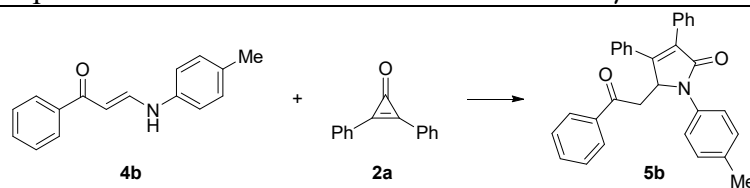
**Table S1.** Optimization of the reaction conditions for the  $\gamma$ -butenolides synthesis.<sup>a,b</sup>



The reaction scheme shows the synthesis of  $\gamma$ -butenolide **3a** from enamine **1a** and cyclic anhydride **2a**. Enamine **1a** is N,N-dimethyl-1-(benzylideneamino)ethane-1-one. Cyclic anhydride **2a** is 1,3-diphenylisobenzofuran-2(1H)-one. The product **3a** is 2-(benzylideneamino)-2-phenyl-1,3-diphenylbut-3-en-1-one.

entry	Catalyst (eq.)	Additive (eq.)	Co-catalyst (eq.)	Solvent	T (°C)	Time (h)	Yield (%)
1	PdCl <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	47
2	Pd(OAc) <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
3	PdCl <sub>2</sub> (PPh <sub>3</sub> ) <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
4	PdTFA (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
5	PdO (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
6	FeCl <sub>3</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.r.
7	FeCl <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
8	FeBr <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
9	NiCl <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.r.
10	CoCl <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	20
11	CuCl <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	26
12	CuCl (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
13	CuI (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
14	Cu(OAc) <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
15	Cu(OTf) <sub>2</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
16	Bi(OTf) <sub>3</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	trace
17	PPh <sub>3</sub> (0.5)	/	/	MeNO <sub>2</sub>	50	12	n.d.
18	PdCl <sub>2</sub> (0.5)	AcOH (1)	/	MeNO <sub>2</sub>	50	12	38
19	PdCl <sub>2</sub> (0.5)	<i>p</i> -TSA (1)	/	MeNO <sub>2</sub>	50	12	34
20	PdCl <sub>2</sub> (0.5)	MeSO <sub>3</sub> H (1)	/	MeNO <sub>2</sub>	50	12	30
21	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (1)	/	MeNO <sub>2</sub>	50	12	59
22	PdCl <sub>2</sub> (0.5)	Adipic acid (1)	/	MeNO <sub>2</sub>	50	12	41
23	PdCl <sub>2</sub> (0.5)	Benzoic anhydride (1)	/	MeNO <sub>2</sub>	50	12	43
24	PdCl <sub>2</sub> (0.5)	TFAA (1)	/	MeNO <sub>2</sub>	50	12	30
25	PdCl <sub>2</sub> (0.5)	Succinic anhydride (1)	/	MeNO <sub>2</sub>	50	12	49
26	PdCl <sub>2</sub> (0.5)	Pivalic anhydride (1)	/	MeNO <sub>2</sub>	50	12	46
27	PdCl <sub>2</sub> (0.5)	Isobutyric anhydride (1)	/	MeNO <sub>2</sub>	50	12	42
28	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (2)	/	MeNO <sub>2</sub>	50	12	73
29	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	50	12	82
30	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (4)	/	MeNO <sub>2</sub>	50	12	81
31	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	DCM	50	12	67
32	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	DCE	50	12	53
33	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	THF	50	12	n.d.
34	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	CHCl <sub>3</sub>	50	12	35
35	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeOH	50	12	n.d.
36	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	DMF	50	12	n.d.
37	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	1,4-Dioxane	50	12	31
38	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeCN	50	12	28
39	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	60	12	92
40	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	70	12	91
41	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	60	6	92
42	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	60	4	85
43	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	60	6	58
44	PdCl <sub>2</sub> (0.3)	Ac <sub>2</sub> O (3)	/	MeNO <sub>2</sub>	60	6	70
45	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	CuCl <sub>2</sub> (0.5)	MeNO <sub>2</sub>	60	6	73
46	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	AgCl (0.5)	MeNO <sub>2</sub>	60	6	40
47	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	CuCl (0.5)	MeNO <sub>2</sub>	60	6	90
48	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	CoCl <sub>2</sub> (0.5)	MeNO <sub>2</sub>	60	6	63
49	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	NiCl <sub>2</sub> (0.5)	MeNO <sub>2</sub>	60	6	65
<b>50</b>	<b>PdCl<sub>2</sub> (0.1)</b>	<b>Ac<sub>2</sub>O (3)</b>	<b>CuCl (0.25)</b>	<b>MeNO<sub>2</sub></b>	<b>60</b>	<b>6</b>	<b>92</b>
51	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (3)	CuCl (0.1)	MeNO <sub>2</sub>	60	6	80
52	PdCl <sub>2</sub> (0.05)	Ac <sub>2</sub> O (3)	CuCl (0.25)	MeNO <sub>2</sub>	60	6	82
53	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (2)	CuCl (0.25)	MeNO <sub>2</sub>	60	6	86
54	PdCl <sub>2</sub> (0.1)	Ac <sub>2</sub> O (4)	CuCl (0.25)	MeNO <sub>2</sub>	60	6	92

<sup>a</sup>Reaction conditions: **1a** (0.1 mmol), **2a** (0.12 mmol) and catalyst in 1.0 mL solvent for 6.0 h-12.0 h. <sup>b</sup>Isolated yields.

**Table S2.** Optimization of the reaction conditions for the  $\gamma$ -lactams synthesis.<sup>a,b</sup>

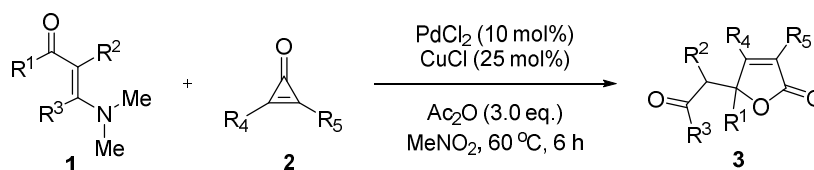
Entry	Catalyst (eq.)	Additive (eq.)	Solvent	T (°C)	Time (h)	Yield (%)
1	PdCl <sub>2</sub> (1)	/	MeNO <sub>2</sub>	50	12	45
2	PdCl <sub>2</sub> (1)	/	DCM	50	12	n.d.
3	PdCl <sub>2</sub> (1)	/	1,4-Dioxane	50	12	n.d.
4	PdCl <sub>2</sub> (1)	/	TFE	50	12	n.d.
5	PdCl <sub>2</sub> (1)	/	MeCN	50	12	n.d.
6	PdCl <sub>2</sub> (1)	/	HFIP	50	12	n.d.
7	PdCl <sub>2</sub> (1)	/	DCE	50	12	n.d.
8	PdCl <sub>2</sub> (1)	/	CHCl <sub>3</sub>	50	12	n.d.
9	PdCl <sub>2</sub> (1)	/	DMSO	50	12	n.d.
10	PdCl <sub>2</sub> (1)	/	PhCl	50	12	n.d.
11	PdCl <sub>2</sub> (1)	/	DMF	50	12	n.d.
12	PdCl <sub>2</sub> (1)	/	Toluene	50	12	n.d.
13	PdCl <sub>2</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	44
14	PdCl <sub>2</sub> (0.25)	/	MeNO <sub>2</sub>	50	12	27
15	FeCl <sub>3</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	n.r.
16	FeCl <sub>2</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	n.d.
17	CuCl <sub>2</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	n.d.
18	ZnCl <sub>2</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	n.r.
19	BiCl <sub>3</sub> (0.5)	/	MeNO <sub>2</sub>	50	12	n.d.
20	PdCl <sub>2</sub> (0.5)	NaOAc (1)	MeNO <sub>2</sub>	50	12	n.d.
21	PdCl <sub>2</sub> (0.5)	CS <sub>2</sub> CO <sub>3</sub> (1)	MeNO <sub>2</sub>	50	12	n.r.
22	PdCl <sub>2</sub> (0.5)	NaBF <sub>4</sub> (1)	MeNO <sub>2</sub>	50	12	trace
23	PdCl <sub>2</sub> (0.5)	BiCl <sub>3</sub> (1)	MeNO <sub>2</sub>	50	12	30
24	PdCl <sub>2</sub> (0.5)	AgCl (1)	MeNO <sub>2</sub>	50	12	trace
25	PdCl <sub>2</sub> (0.5)	CuCl <sub>2</sub> (1)	MeNO <sub>2</sub>	50	12	n.d.
26	PdCl <sub>2</sub> (0.5)	FeCl <sub>2</sub> (1)	MeNO <sub>2</sub>	50	12	n.d.
27	PdCl <sub>2</sub> (0.5)	NiCl <sub>2</sub> (1)	MeNO <sub>2</sub>	50	12	trace
28	PdCl <sub>2</sub> (0.5)	CoCl <sub>2</sub> (1)	MeNO <sub>2</sub>	50	12	trace
29	PdCl <sub>2</sub> (0.5)	ZnCl <sub>2</sub> (1)	MeNO <sub>2</sub>	50	12	n.d.
30	PdCl <sub>2</sub> (0.5)	TMSCl (1)	MeNO <sub>2</sub>	50	12	24
31	PdCl <sub>2</sub> (0.5)	TBPB (1)	MeNO <sub>2</sub>	50	12	trace
32	PdCl <sub>2</sub> (0.5)	PIDA (1)	MeNO <sub>2</sub>	50	12	n.d.
33	PdCl <sub>2</sub> (0.5)	HCl (1)	MeNO <sub>2</sub>	50	12	n.d.
34	PdCl <sub>2</sub> (0.5)	MeSO <sub>3</sub> H (1)	MeNO <sub>2</sub>	50	12	n.d.
35	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (1)	MeNO <sub>2</sub>	50	12	50
36	PdCl <sub>2</sub> (0.5)	Benzoic anhydride (1)	MeNO <sub>2</sub>	50	12	n.d.
37	PdCl <sub>2</sub> (0.5)	TFAA (1)	MeNO <sub>2</sub>	50	12	trace
38	PdCl <sub>2</sub> (0.5)	Succinic anhydride (1)	MeNO <sub>2</sub>	50	12	trace
39	PdCl <sub>2</sub> (0.5)	Hexanoic anhydride (1)	MeNO <sub>2</sub>	50	12	trace
40	PdCl <sub>2</sub> (0.5)	Trimethylacetic anhydride (1)	MeNO <sub>2</sub>	50	12	41
41	PdCl <sub>2</sub> (0.5)	Isobutyric anhydride (1)	MeNO <sub>2</sub>	50	12	26
42	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (2)	MeNO <sub>2</sub>	50	12	57
43	<b>PdCl<sub>2</sub> (0.5)</b>	<b>Ac<sub>2</sub>O (3)</b>	<b>MeNO<sub>2</sub></b>	<b>50</b>	<b>12</b>	<b>65</b>
44	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (4)	MeNO <sub>2</sub>	50	12	65
45	PdCl <sub>2</sub> (0.5)	AcOH (3)	MeNO <sub>2</sub>	50	12	23
46	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	50	6	36
47	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	50	9	48
48	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	50	15	64
49	/	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	50	12	n.r.
50	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	40	12	45
51	PdCl <sub>2</sub> (0.5)	Ac <sub>2</sub> O (3)	MeNO <sub>2</sub>	60	12	53

<sup>a</sup>Reaction conditions: **4b** (0.1 mmol), **2a** (0.12 mmol) and catalyst in 1.0 mL solvent for 6.0-12.0 h. <sup>b</sup>Isolated yields.



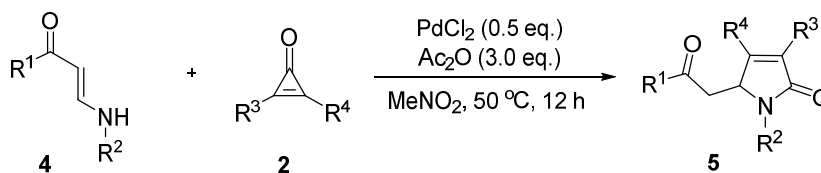
### 3. General procedure.

#### 3.1 Synthesis of $\gamma$ -butenolides **3**.



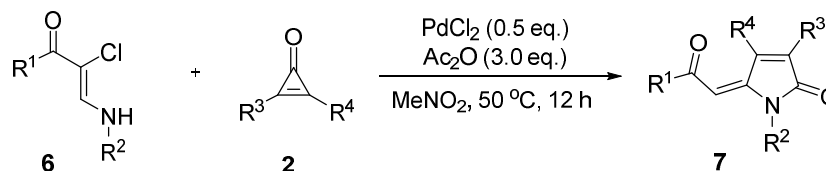
*N,N*-Dimethyl enaminones **1** (0.2 mmol), cyclopropenone **2** (0.24 mmol, 1.2 eq.), PdCl<sub>2</sub> (10 mol%), CuCl (25 mol%), Ac<sub>2</sub>O (0.6 mmol, 3.0 eq.), and MeNO<sub>2</sub> (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 60 °C (metal bath) for 6.0 h until **1** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (15 mL  $\times$  2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford  $\gamma$ -butenolides **3**.

#### 3.2 Synthesis of $\gamma$ -lactams **5**.



Enaminones **4** (0.2 mmol), cyclopropenone **2** (0.24 mmol, 1.2 eq.), PdCl<sub>2</sub> (0.5 eq.), Ac<sub>2</sub>O (0.6 mmol, 3.0 eq.), and MeNO<sub>2</sub> (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 12.0 h until **4** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (15 mL  $\times$  2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford 2*H*-pyrrol-2-ones **5**.

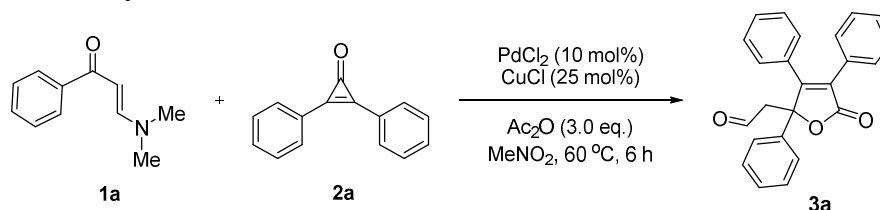
#### 3.3 Synthesis of butenolide **7**.



$\alpha$ -Chlorinated enaminones **6** (0.2 mmol), cyclopropenone **2** (0.24 mmol, 1.2 eq.), PdCl<sub>2</sub> (0.5 eq.), Ac<sub>2</sub>O (0.6 mmol, 3.0 eq.), and MeNO<sub>2</sub> (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 12.0 h until **6** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (15 mL  $\times$  2) were added. The organic phase was washed with water (10 mL),

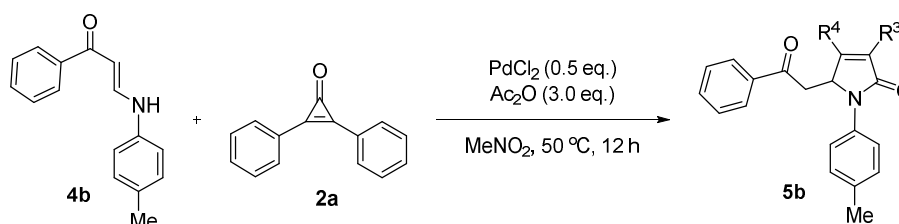
dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford 2*H*-pyrrol-2-ones **7**.

### 3.4 Gram-scale synthesis of butenolide **3a**.



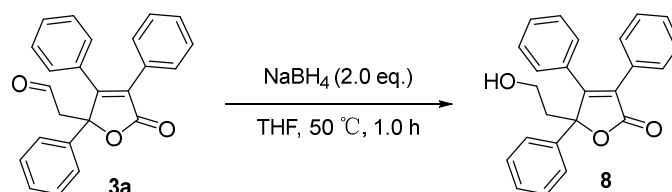
*N,N*-Dimethyl enaminones **1a** (3.0 mmol), cyclopropenone **2a** (3.6 mmol, 1.2 eq.), PdCl<sub>2</sub> (10 mol%), CuCl (25 mol%), Ac<sub>2</sub>O (9.0 mmol, 3.0 eq.), and MeNO<sub>2</sub> (15 mL) were charged into a 75 mL Ace Glass pressure tubes, and the mixture was stirred at 60 °C (metal bath) for 6.0 h until **1a** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (30 mL × 2) were added. The organic phase was washed with water (20 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford  $\gamma$ -butenolide **3a** in 82% yield (0.87 g).

### 3.5 Gram-scale synthesis of butenolide **5b**.



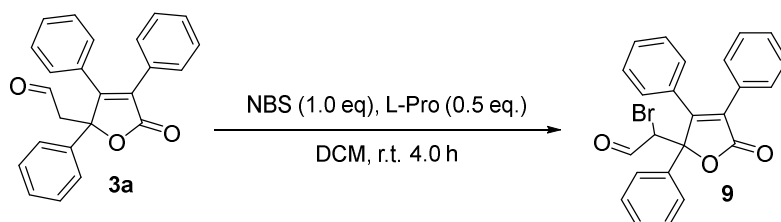
Enaminones **4b** (3.0 mmol), cyclopropenone **2a** (3.6 mmol, 1.2 eq.), PdCl<sub>2</sub> (0.5 eq.), Ac<sub>2</sub>O (9.0 mmol, 3.0 eq.), and MeNO<sub>2</sub> (15 mL) were charged into a 75 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 12.0 h until **4b** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (30 mL × 2) were added. The organic phase was washed with water (20 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford 2*H*-pyrrol-2-ones **5b** in 42% yield (0.56 g).

### 3.6 The Synthetic Applications.

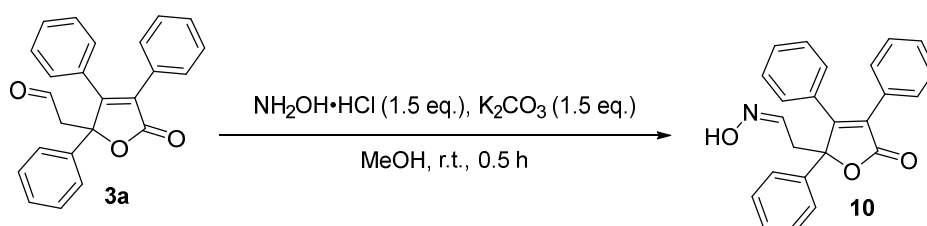


$\gamma$ -Butenolide **3a** (0.2 mmol), NaBH<sub>4</sub> (0.4 mmol, 2.0 eq.), and THF (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 1.0 h until **3a** were completely consumed. The mixture was cooled to room

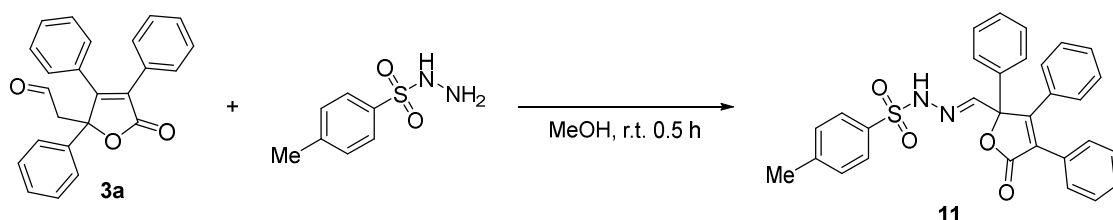
temperature, and then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford **8**.



$\gamma$ -Butenolide **3a** (0.2 mmol), NBS (0.2 mmol, 1.0 eq.), L-Pro (0.1 mmol, 0.5 eq.), and DCM (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at room temperature for 4.0 h until **3a** were completely consumed. And then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford **9**.

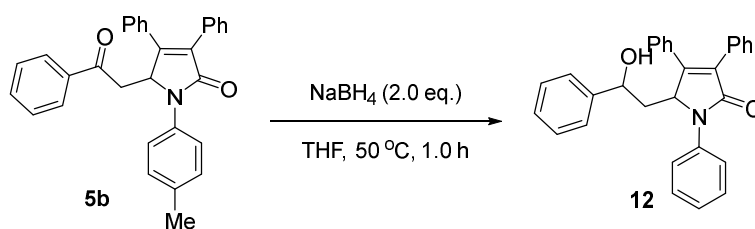


$\gamma$ -Butenolide **3a** (0.2 mmol), NH<sub>2</sub>OH·HCl (0.3 mmol, 1.5 eq.), K<sub>2</sub>CO<sub>3</sub> (0.3 mmol, 1.5 eq.), and MeOH (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at room temperature for 0.5 h until **3a** were completely consumed. And then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford **10**.



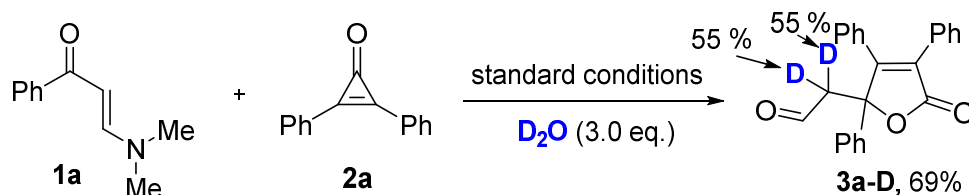
$\gamma$ -Butenolide **3a** (0.2 mmol), 4-methylbenzenesulfonylhydrazide (0.24 mmol, 1.2 eq.), and MeOH (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at room temperature for 0.5 h until **3a** were completely consumed. And then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL),

dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford **11**.

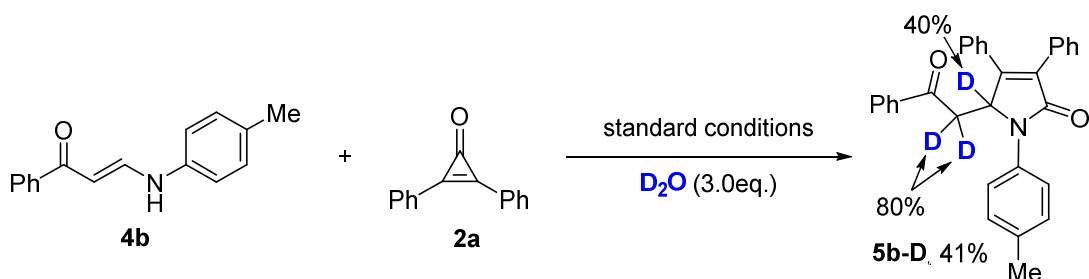
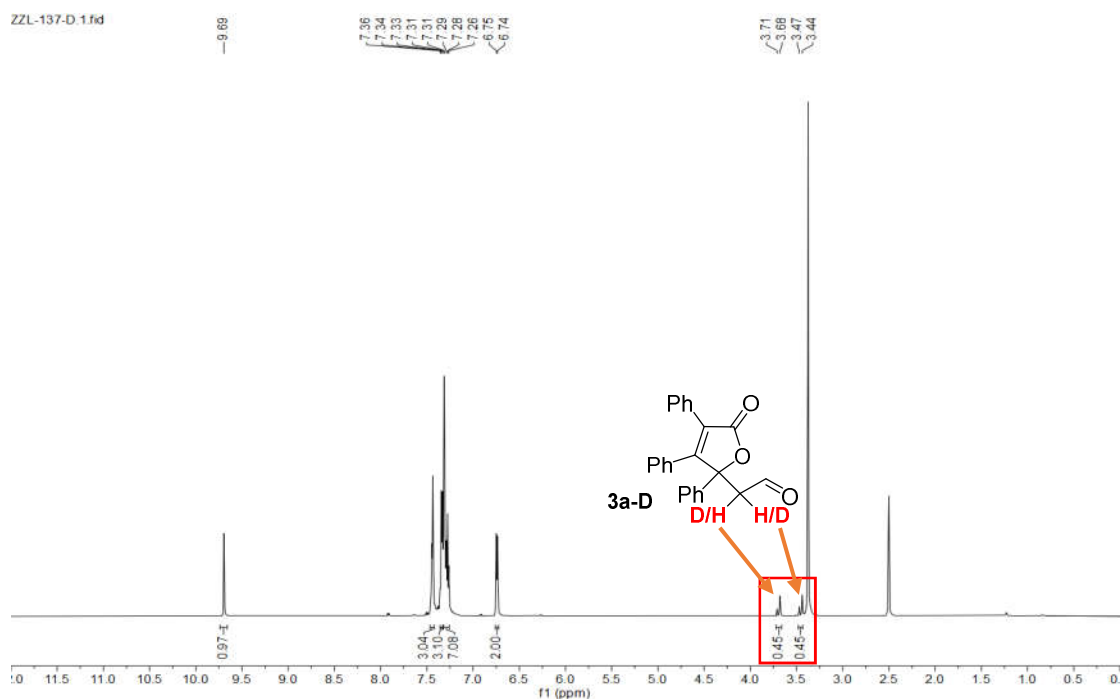
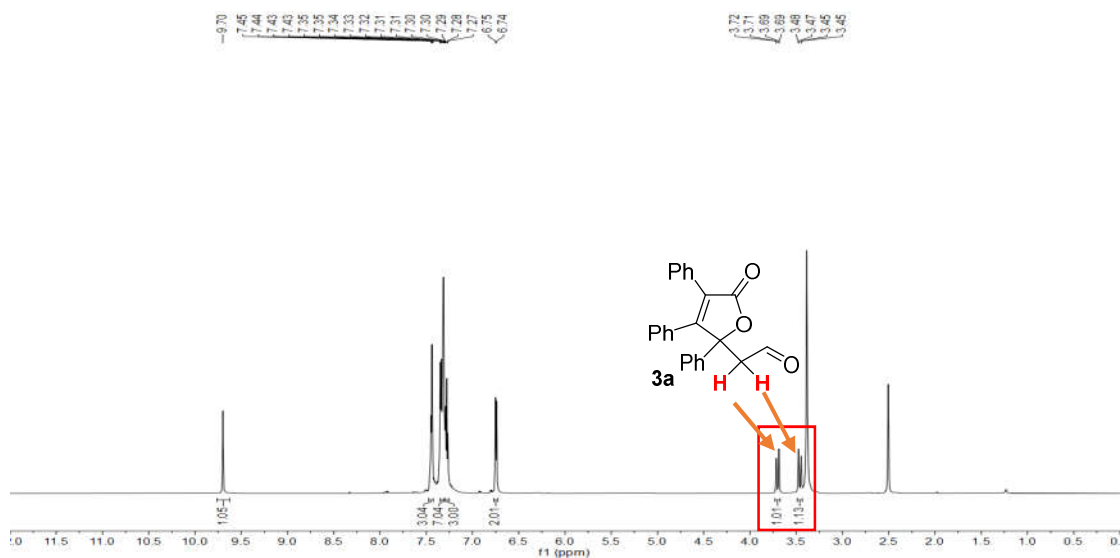


2*H*-Pyrrol-2-ones **5b** (0.2 mmol), NaBH<sub>4</sub> (0.4 mmol, 2.0 eq.), and THF (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 1.0 h until **5b** were completely consumed. The mixture was cooled to room temperature, and then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford **12**.

### 3.7 H/D Exchange experiment.

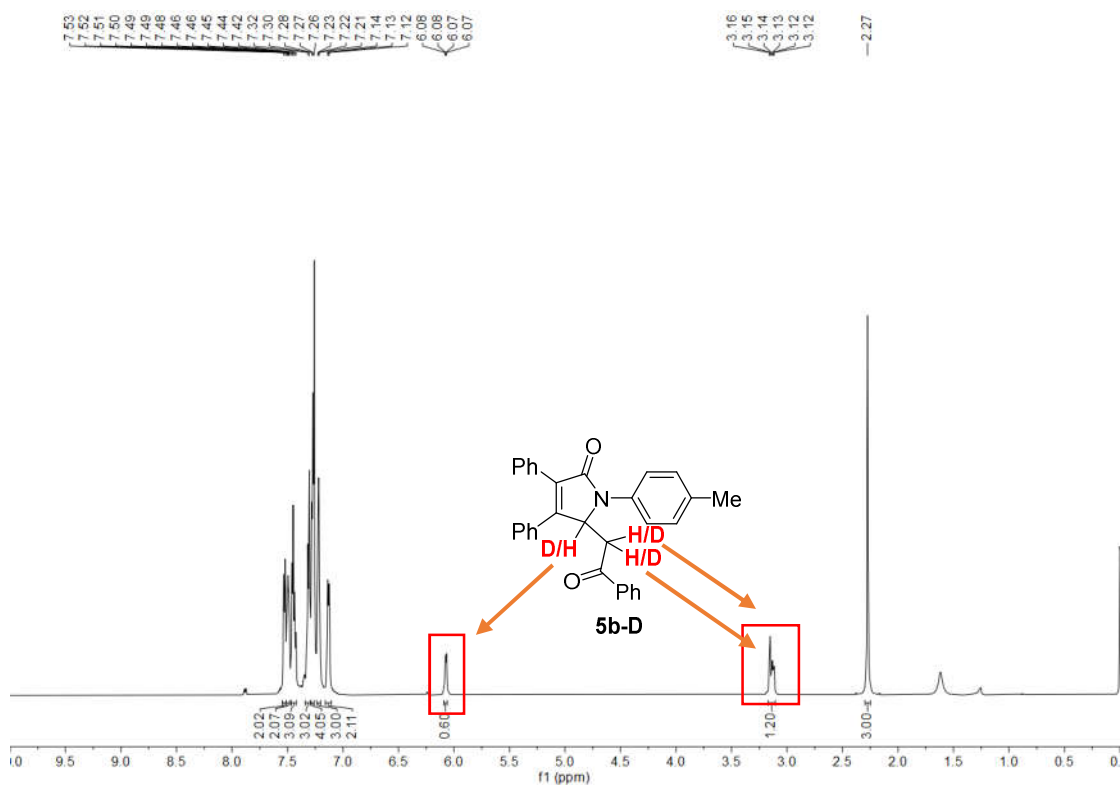
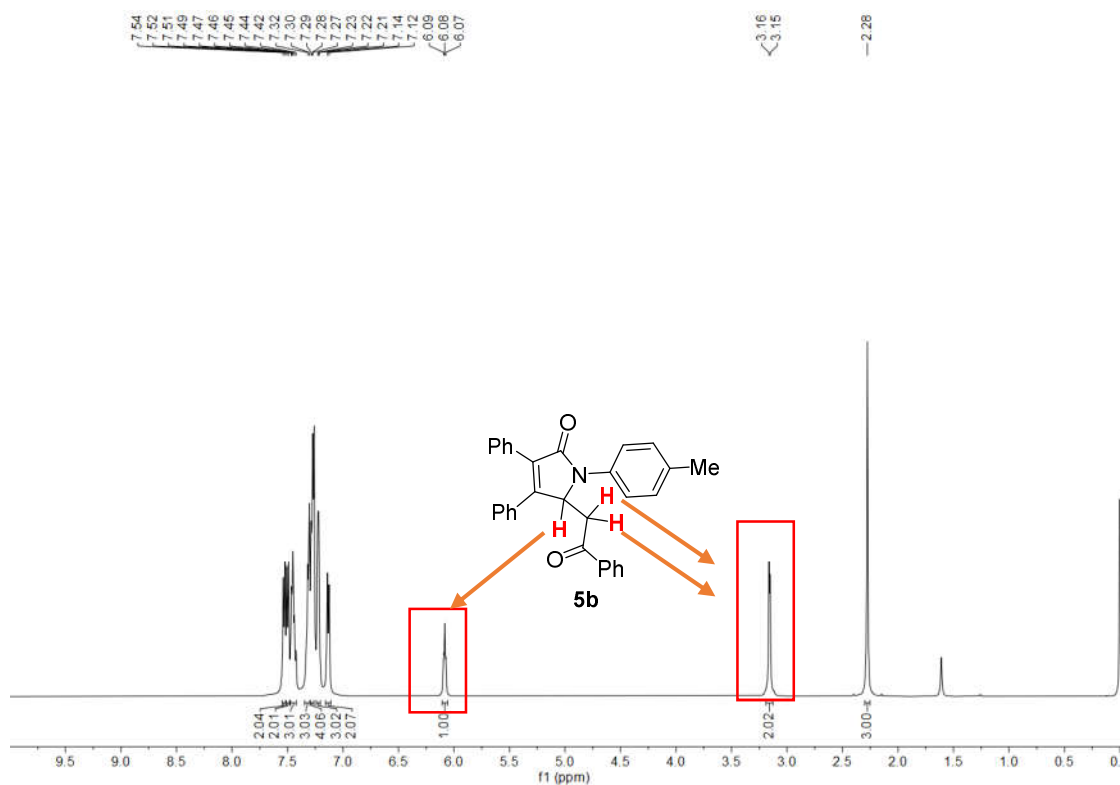


*N,N*-Dimethyl enaminones **1a** (0.2 mmol), cyclopropenone **2a** (0.24 mmol, 1.2 eq.), PdCl<sub>2</sub> (10 mol%), CuCl (25 mol%), D<sub>2</sub>O, (0.6 mmol, 3.0 eq.), Ac<sub>2</sub>O (0.6 mmol, 3.0 eq.), and MeNO<sub>2</sub> (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 60 °C (metal bath) for 6.0 h until **1a** were completely consumed, and then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and purified by flash column chromatography to afford  $\gamma$ -butenolide **3a-D**. The deuterium content in the structure was identified by <sup>1</sup>H NMR.

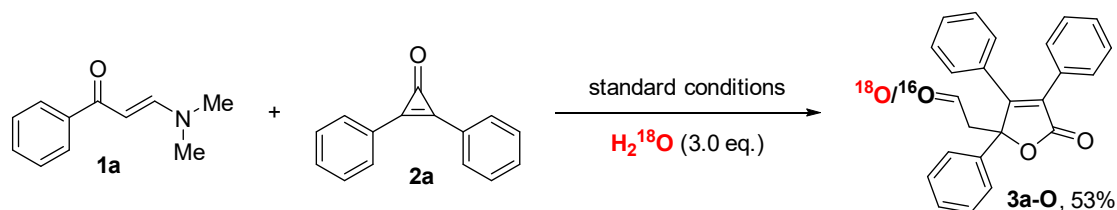


Enaminones **4b** (0.2 mmol), cyclopropenone **2a** (0.24 mmol, 1.2 eq.), PdCl<sub>2</sub> (0.5 eq.), D<sub>2</sub>O, (0.6 mmol, 3.0 eq.), Ac<sub>2</sub>O (0.6 mmol, 3.0 eq.), and MeNO<sub>2</sub> (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 50 °C (metal bath) for 12.0 h until **4b** were completely consumed, and then EtOAc (10 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated

and purified by flash column chromatography to afford 2*H*-pyrrol-2-ones **5b-D**. The deuterium content in the structure was identified by <sup>1</sup>H NMR.

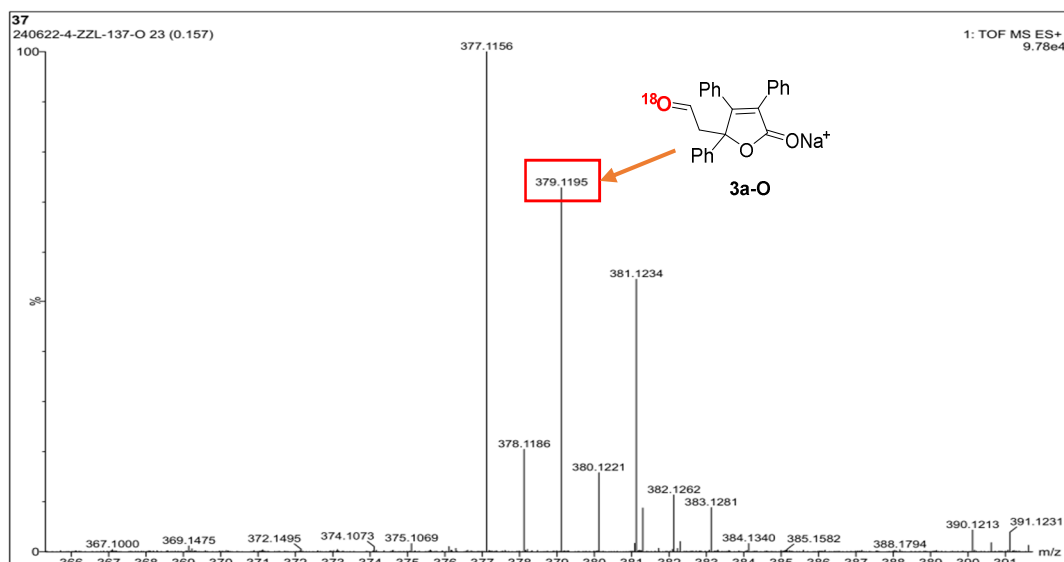


### 3.8 <sup>18</sup>O Labeling experiment.



*N,N*-dimethyl enaminones **1a** (0.2 mmol), cyclopropenone **2a** (0.24 mmol, 1.2 eq.),  $\text{PdCl}_2$  (10 mol%),  $\text{CuCl}$  (25 mol%),  $\text{H}_2^{18}\text{O}$  (0.6 mmol, 3.0 eq.),  $\text{Ac}_2\text{O}$  (0.6 mmol, 3.0 eq.), and  $\text{MeNO}_2$  (2 mL) were charged into a 15 mL Ace Glass pressure tubes, and the mixture was stirred at 60 °C (metal bath) for 6.0 h until **1a** were completely consumed, and then  $\text{EtOAc}$  (10 mL  $\times$  2) were added. The organic phase was washed with water (10 mL), dried over  $\text{Na}_2\text{SO}_4$ , concentrated and purified by flash column chromatography to afford  $\gamma$ -butenolide **3a-O**. The  $^{18}\text{O}$  content in the structure was identified by HRMS.

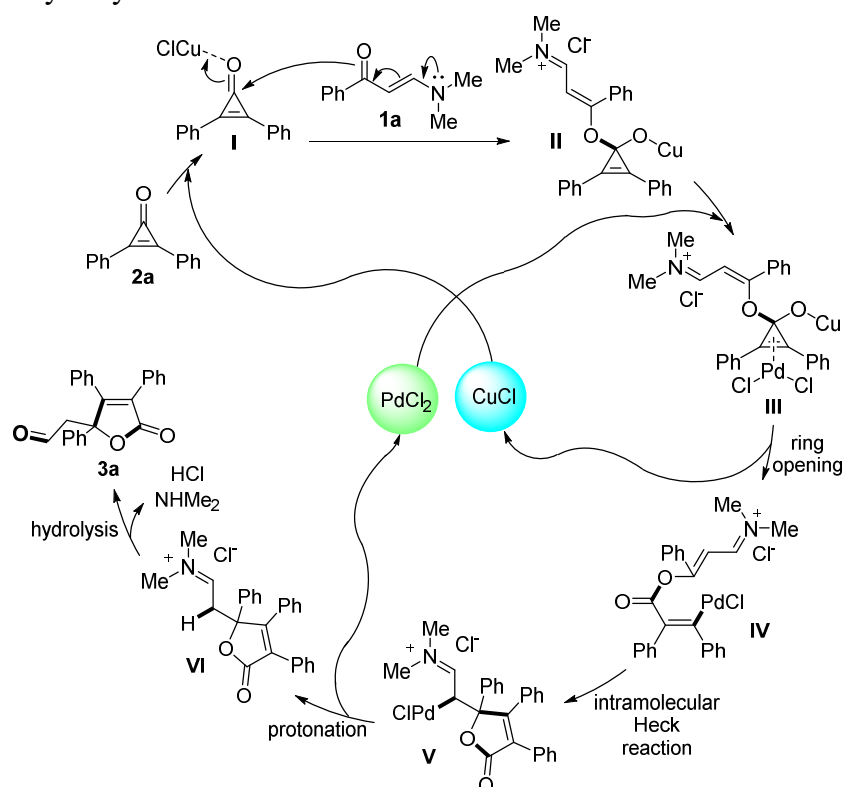
HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{24}\text{H}_{18}\text{NaO}_2^{18}\text{O}$  [(M+Na)<sup>+</sup>], 379.1191, found, 379.1195.



### 3.9 Proposed reaction mechanism

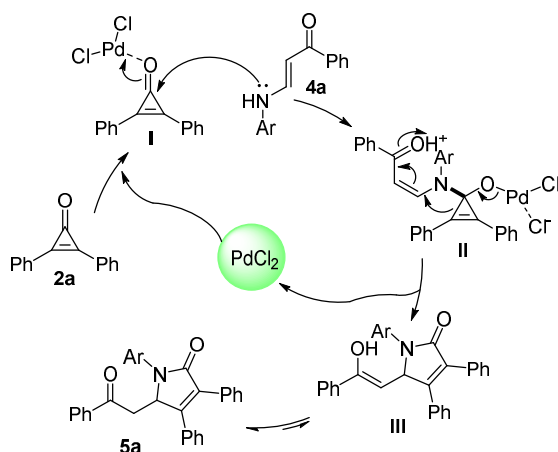
Based on the above experimental results and previous reports,<sup>3</sup> we proposed a possible mechanism for this Pd(II)-catalyzed [3+2] annulation process between *N,N*-dimethyl enaminone **1a** and cyclopropenone **2a**. Initially, cyclopropenone **2a** is complexed with  $\text{CuCl}$  to generate copper complex species **I**, which is attacked by enaminone **1a** through nucleophilic addition to generate intermediate **II**. Subsequently,  $\text{PdCl}_2$  is coordinated with the C=C bond of intermediate **II** to form intermediate **III**. Then the ring opening of **III** via C-C bond activation generates intermediates **IV** along with regeneration of the co-catalyst  $\text{CuCl}$ . **VI** undergoes an intramolecular Heck reaction to give intermediate **V**, which is easily converted to intermediate **VI** via protonation and the

released PdCl<sub>2</sub> is regenerated for the next catalytic cycle. Finally, product **3a** is formed through the hydrolysis of **VI**.



**Scheme S1.** Proposed reaction mechanism of  $\gamma$ -butenolides construction.

Based on the above experimental results and literature reports,<sup>4</sup> we proposed a possible mechanism to describe this Pd(II)-catalyzed [3+2] annulation process between *NH*-substituted enaminone **4a** and cyclopropanone **2a**. Firstly, cyclopropanone **2a** is complexed with PdCl<sub>2</sub> to generate intermediate **I**, which subsequently reacts with *NH*-substituted enaminone **4a** to form intermediate **II**. The intermediate **II** undergoes intramolecular ring-opening/cyclization process to give intermediate **III** and leave a molecule of PdCl<sub>2</sub>. Finally, a keto-enol tautomerism occurs to produce the desired product **5a**.

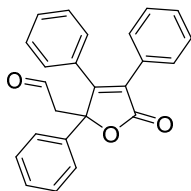


**Scheme S2.** Proposed reaction mechanism of  $\gamma$ -lactams construction.



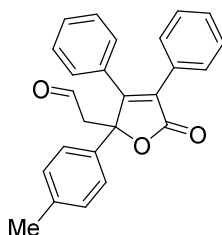
## 4. Spectroscopic data.

### 2-(5-Oxo-2,3,4-triphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3a)



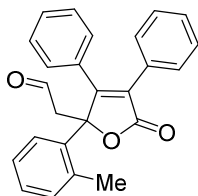
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 65 mg (92%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.70$  (s, 1H), 7.45–7.43 (m, 3H), 7.36–7.30 (m, 7H), 7.29–7.27 (m, 3H), 6.75 (d,  $J = 7.5$  Hz, 2H), 3.70 (dd,  $J = 17.0, 1.9$  Hz, 1H), 3.46 (dd,  $J = 17.1, 1.9$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8, 171.4, 163.9, 136.5, 131.3, 130.0, 129.8, 129.5, 129.5, 129.5, 129.5, 129.5, 129.2, 129.2, 129.2, 128.7, 128.7, 128.5, 128.5, 126.9, 126.4, 126.4, 87.5, 46.7$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{24}\text{H}_{19}\text{O}_3$  [(M+H)<sup>+</sup>], 355.1329, found, 355.1338.

### 2-(5-Oxo-3,4-diphenyl-2-(*p*-tolyl)-2,5-dihydrofuran-2-yl)acetaldehyde (3b)



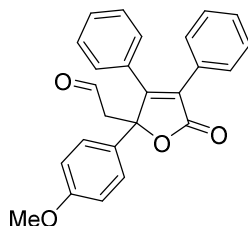
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 70 mg (89%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.68$  (s, 1H), 7.36–7.33 (m, 1H), 7.32–7.22 (m, 11H), 6.76 (d,  $J = 7.0$  Hz, 2H), 3.66 (dd,  $J = 17.0, 2.0$  Hz, 1H), 3.41 (dd,  $J = 17.2, 2.0$  Hz, 1H), 2.33 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8, 171.4, 163.9, 139.0, 133.5, 131.3, 130.0, 130.0, 130.0, 129.9, 129.5, 129.5, 129.2, 129.2, 129.2, 128.7, 128.7, 128.6, 128.6, 126.8, 126.3, 126.3, 87.5, 46.8, 21.1$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{20}\text{NaO}_3$  [(M+Na)<sup>+</sup>], 391.1305, found, 391.1310.

### 2-(5-Oxo-3,4-diphenyl-2-(*o*-tolyl)-2,5-dihydrofuran-2-yl)acetaldehyde (3c)



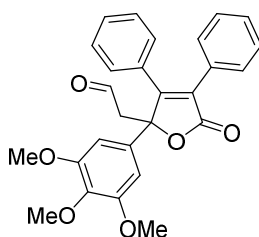
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 51 mg (65%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.73$  (s, 1H), 7.37–7.31 (m, 8H), 7.26–7.22 (m, 4H), 6.70–6.66 (m, 2H), 3.73 (dd,  $J = 17.0, 2.3$  Hz, 1H), 3.32 (dd,  $J = 17.0, 1.9$  Hz, 1H), 2.33 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.9, 171.6, 161.7, 137.2, 133.8, 132.7, 131.2, 130.0, 129.9, 129.6, 129.4, 129.4, 129.3, 129.3, 129.2, 129.2, 128.8, 128.8, 128.4, 128.4, 128.4, 127.0, 89.3, 49.7, 21.1$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{20}\text{NaO}_3$  [(M+Na)<sup>+</sup>], 391.1305, found, 391.1306.

**2-(2-(4-Hydroxyphenyl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3d)**



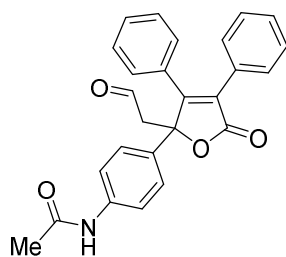
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow oil: 71 mg (93%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.69$  (s, 1H), 7.37–7.31 (m, 6H), 7.30–7.27 (m, 4H), 7.00 (d,  $J = 8.5$  Hz, 2H), 6.76 (d,  $J = 7.6$  Hz, 2H), 3.78 (s, 3H), 3.67–3.62 (m, 1H), 3.41–3.39 (m, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.9$ , 171.4, 163.8, 160.0, 131.3, 130.0, 129.9, 129.5, 129.5, 129.2, 129.2, 128.7, 128.7, 128.7, 128.6, 128.6, 128.1, 127.9, 127.9, 126.7, 114.8, 114.8, 87.4, 55.7, 46.8; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{21}\text{O}_4$  [(M+H)<sup>+</sup>], 385.1434, found, 385.1444.

**2-(5-Oxo-3,4-diphenyl-2-(3,4,5-trimethoxyphenyl)-2,5-dihydrofuran-2-yl)acetaldehyde (3e)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 2:1$ ,  $R_f = 0.3$ ; Yellow oil: 80 mg (90%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.68$  (s, 1H), 7.40–7.37 (m, 1H), 7.36–7.30 (m, 7H), 6.86 (d,  $J = 7.5$  Hz, 2H), 6.52 (s, 2H), 3.72 (d,  $J = 17.1$  Hz, 1H), 3.68 (s, 3H), 3.67 (s, 6H), 3.43 (d,  $J = 17.3$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.6$ , 170.7, 163.3, 153.0, 153.0, 137.8, 131.7, 131.0, 129.6, 129.5, 129.2, 129.2, 128.8, 128.7, 128.7, 128.4, 128.4, 128.3, 128.3, 126.4, 103.4, 103.4, 87.2, 60.2, 56.0, 56.0, 47.1; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{27}\text{H}_{24}\text{NaO}_6$  [(M+Na)<sup>+</sup>], 467.1465, found, 467.1474.

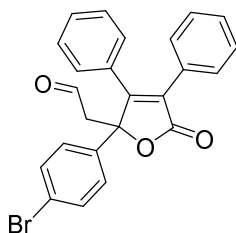
**N-(4-(5-Oxo-2-(2-oxoethyl)-3,4-diphenyl-2,5-dihydrofuran-2-yl)phenyl)acetamide (3f)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 1:1$ ,  $R_f = 0.3$ ; Yellow oil: 63 mg (73%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 10.14$  (s, 1H), 9.69 (s, 1H), 7.65 (d,  $J = 8.5$  Hz, 2H), 7.34–7.28 (m, 10H),

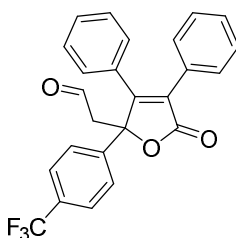
6.76 (d,  $J = 7.2$  Hz, 2H), 3.64 (d,  $J = 17.0$  Hz, 1H), 3.38–3.37 (m, 1H), 2.06 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz, DMSO- $d_6$ )  $\delta = 199.9, 171.4, 169.1, 163.7, 140.4, 131.3, 130.4, 130.0, 129.9, 129.6, 129.5, 129.5, 129.4, 129.2, 129.2, 128.9, 128.7, 128.7, 128.6, 128.6, 127.1, 126.8, 119.5, 87.4, 46.6, 24.5$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{26}\text{H}_{21}\text{NNaO}_4$  [(M+Na) $^+$ ], 434.1363, found, 434.1370.

**2-(2-(4-Bromophenyl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3g)**



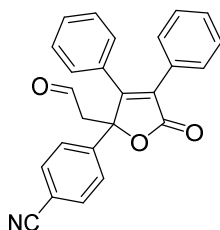
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; colorless oil: 77 mg (89%);  $^1\text{H}$  NMR (600 MHz, DMSO- $d_6$ )  $\delta = 9.67$  (s, 1H), 7.63 (d,  $J = 8.2$  Hz, 2H), 7.36 (t,  $J = 7.4$  Hz, 1H), 7.33–7.27 (m, 9H), 6.79 (d,  $J = 7.2$  Hz, 2H), 3.72 (d,  $J = 17.4$  Hz, 1H), 3.49 (d,  $J = 17.3$  Hz, 1H);  $^{13}\text{C}$  NMR (150 MHz, DMSO- $d_6$ )  $\delta = 199.1, 170.8, 163.2, 135.7, 131.9, 131.9, 130.7, 129.6, 129.3, 129.1, 129.1, 129.1, 128.9, 128.9, 128.9, 128.3, 128.2, 128.2, 128.2, 128.2, 126.6, 122.4, 86.7, 46.3$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{24}\text{H}_{18}\text{BrO}_3$  [(M+H) $^+$ ], 433.0434, found, 433.0441.

**2-(5-Oxo-3,4-diphenyl-2-(4-(trifluoromethyl)phenyl)-2,5-dihydrofuran-2-yl)acetaldehyde (3h)**



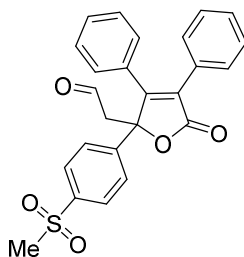
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow oil: 73 mg (87%);  $^1\text{H}$  NMR (600 MHz, DMSO- $d_6$ )  $\delta = 9.68$  (s, 1H), 7.79 (d,  $J = 8.2$  Hz, 2H), 7.55 (d,  $J = 8.2$  Hz, 2H), 7.37 (t,  $J = 7.5$  Hz, 1H), 7.33–7.30 (m, 7H), 6.78 (d,  $J = 7.6$  Hz, 2H), 3.81 (d,  $J = 18.0$  Hz, 1H), 3.62–3.57 (m, 1H);  $^{13}\text{C}$  NMR (150 MHz, DMSO- $d_6$ )  $\delta = 199.4, 171.2, 163.5, 141.4, 131.0, 130.1, 129.6, 129.6, 129.5, 129.5, 129.3, 129.3, 129.3$  (d,  $J = 34.5$  Hz), 128.7, 128.7, 128.7, 128.6, 128.6, 127.3, 127.3, 126.3 (q,  $J = 3.0$  Hz), 124.4 (d,  $J = 270$  Hz), 87.1, 46.9;  $^{19}\text{F}$  NMR (470 MHz, DMSO- $d_6$ )  $\delta = -61.13$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{17}\text{F}_3\text{NaO}_3$  [(M+Na) $^+$ ], 445.1022, found, 445.1029.

**4-(5-Oxo-2-(2-oxoethyl)-3,4-diphenyl-2,5-dihydrofuran-2-yl)benzotrile (3i)**



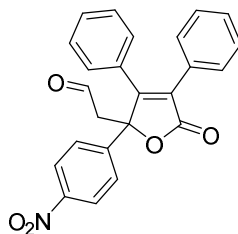
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow oil: 67 mg (88%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.66$  (s, 1H), 7.90 (d,  $J = 8.2$  Hz, 2H), 7.51 (d,  $J = 8.3$  Hz, 2H), 7.38 (t,  $J = 7.4$  Hz, 1H), 7.33–7.29 (m, 7H), 6.77 (d,  $J = 7.1$  Hz, 2H), 3.81 (d,  $J = d$ ,  $J = 17.6$  Hz, 1H), 3.59 (dd,  $J = 17.6$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 198.8$ , 170.7, 163.0, 141.7, 132.8, 132.8, 130.5, 129.7, 129.1, 129.1, 129.1, 128.9, 128.9, 128.9, 128.3, 128.3, 128.2, 128.2, 127.0, 127.0, 126.9, 118.4, 111.7, 86.7, 46.3; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{18}\text{NO}_3$   $[(\text{M}+\text{H})^+]$ , 380.1281, found, 380.1286.

**2-(2-(4-(Methylsulfonyl)phenyl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3j)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 1:1$ ,  $R_f = 0.1$ ; Yellow oil: 74 mg (86%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.68$  (s, 1H), 7.96 (d,  $J = 8.3$  Hz, 2H), 7.60 (d,  $J = 8.3$  Hz, 2H), 7.38 (t,  $J = 7.4$  Hz, 1H), 7.33–7.30 (m, 7H), 6.78 (d,  $J = 7.1$  Hz, 2H), 3.82 (d,  $J = 16.2$  Hz, 1H), 3.60 (d,  $J = 17.6$  Hz, 1H), 3.26 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 198.9$ , 170.8, 163.0, 142.0, 141.1, 130.5, 129.7, 129.2, 129.2, 129.2, 128.9, 128.9, 128.9, 128.3, 128.3, 128.2, 128.2, 127.6, 127.6, 127.0, 127.0, 127.0, 86.7, 46.5, 43.4; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{21}\text{O}_5\text{S}$   $[(\text{M}+\text{H})^+]$ , 433.1104, found, 433.1112.

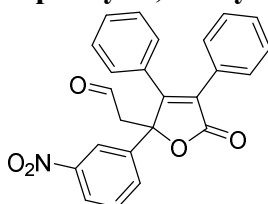
**2-(2-(4-Nitrophenyl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3k)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 2:1$ ,  $R_f = 0.2$ ; Yellow oil: 66 mg (83%);  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 9.78$  (s, 1H), 8.22 (d,  $J = 8.4$  Hz, 2H), 7.43–7.35 (m, 4H), 7.29 (d,  $J = 26.8$  Hz, 6H), 6.83–6.71 (m, 2H), 3.49 (d,  $J = 16.2$  Hz, 1H), 3.19 (d,  $J = 16.3$  Hz, 1H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 197.0$ , 170.5, 162.3, 148.2, 143.4, 130.6, 130.0, 129.4, 129.3, 129.3, 129.1, 129.1, 128.4, 128.4, 128.4, 128.2, 128.2, 127.6, 126.9, 126.9, 124.1,

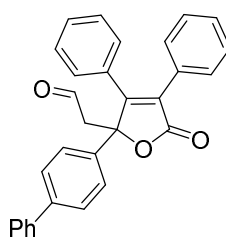
124.1, 86.4, 48.1; HRMS (TOF ES+):  $m/z$  calcd for  $C_{24}H_{18}NO_5$   $[(M+H)^+]$ , 400.1179, found, 400.1184.

**2-(2-(3-Nitrophenyl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3l)**



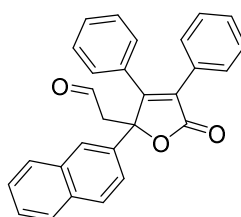
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 75 mg (94%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.67$  (s, 1H), 8.27–8.25 (m, 1H), 8.05 (s, 1H), 7.73–7.68 (m, 2H), 7.38 (t,  $J = 7.5$  Hz, 1H), 7.34–7.29 (m, 7H), 6.82 (d,  $J = 7.2$  Hz, 2H), 3.87 (d,  $J = 17.7$  Hz, 1H), 3.66 (d,  $J = 17.7$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.3$ , 171.1, 163.4, 148.5, 139.0, 132.9, 130.9, 130.9, 130.1, 129.5, 129.5, 129.5, 129.4, 129.3, 129.3, 128.7, 128.7, 128.7, 127.3, 124.3, 120.8, 86.9, 47.0; HRMS (TOF ES+):  $m/z$  calcd for  $C_{24}H_{18}NO_5$   $[(M+H)^+]$ , 400.1179, found, 400.1187.

**2-(2-([1,1'-Biphenyl]-4-yl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3m)**



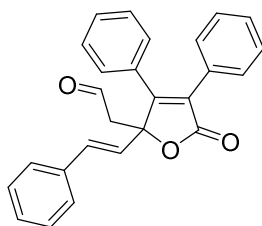
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 80 mg (93%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.73$  (s, 1H), 7.77 (d,  $J = 8.2$  Hz, 2H), 7.72 (d,  $J = 7.9$  Hz, 2H), 7.49 (t,  $J = 7.7$  Hz, 2H), 7.44 (d,  $J = 8.1$  Hz, 2H), 7.41–7.38 (m, 2H), 7.35 (d,  $J = 7.1$  Hz, 2H), 7.33–7.31 (m, 3H), 7.29 (d,  $J = 7.4$  Hz, 2H), 6.82 (d,  $J = 7.6$  Hz, 2H), 3.75 (d,  $J = 17.6$  Hz, 1H), 3.50 (d,  $J = 17.0$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8$ , 171.4, 163.7, 141.0, 139.4, 135.7, 131.3, 130.0, 129.8, 129.6, 129.5, 129.5, 129.5, 129.4, 129.2, 129.2, 128.7, 128.7, 128.7, 128.6, 128.4, 127.6, 127.5, 127.4, 127.2, 127.0, 127.0, 127.0, 87.4, 46.8; HRMS (TOF ES+):  $m/z$  calcd for  $C_{30}H_{23}O_3$   $[(M+H)^+]$ , 431.1642, found, 431.1650.

**2-(2-(Naphthalen-2-yl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3n)**



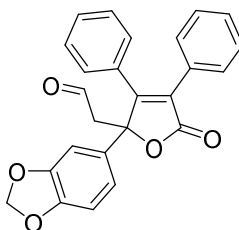
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 65 mg (81%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.76$  (s, 1H), 8.01–7.98 (m, 2H), 7.97–7.94 (m, 2H), 7.61–7.56 (m, 2H), 7.41–7.39 (m, 1H), 7.37–7.36 (m, 2H), 7.33–7.31 (m, 4H), 7.25–7.23 (m, 2H), 6.75 (d,  $J = 7.3$  Hz, 2H), 3.85 (dd,  $J = 17.1, 1.9$  Hz, 1H), 3.56 (dd,  $J = 17.1, 2.1$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8, 171.4, 163.7, 133.8, 133.2, 133.0, 131.3, 130.0, 129.8, 129.6, 129.6, 129.5, 129.3, 129.2, 129.2, 128.9, 128.7, 128.7, 128.5, 128.5, 128.0, 127.6, 127.3, 127.3, 126.1, 123.5, 87.7, 46.8$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{28}\text{H}_{21}\text{O}_3$   $[(\text{M}+\text{H})^+]$ , 405.1485, found, 405.1492.

### 2-(5-Oxo-3,4-diphenyl-2-styryl-2,5-dihydrofuran-2-yl)acetaldehyde (3o)



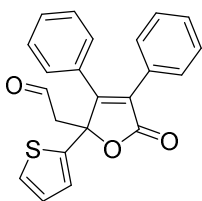
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 62 mg (82%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.71$  (s, 1H), 7.55 (d,  $J = 7.6$  Hz, 2H), 7.41–7.36 (m, 5H), 7.34–7.30 (m, 6H), 7.25 (dd,  $J = 6.5, 2.8$  Hz, 2H), 6.79 (d,  $J = 16.3$  Hz, 1H), 6.59 (d,  $J = 16.2$  Hz, 1H), 3.35–3.32 (m, 1H), 3.15 (dd,  $J = 16.8, 2.3$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 200.0, 171.0, 162.8, 135.9, 132.6, 131.5, 130.0, 130.0, 129.6, 129.6, 129.3, 129.3, 129.2, 129.2, 129.1, 129.0, 128.8, 128.8, 128.7, 128.7, 127.5, 127.5, 127.2, 126.5, 86.8, 46.8$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{26}\text{H}_{20}\text{NaO}_3$   $[(\text{M}+\text{Na})^+]$ , 403.1305, found, 403.1312.

### 2-(2-(Benzo[d][1,3]dioxol-5-yl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3p)



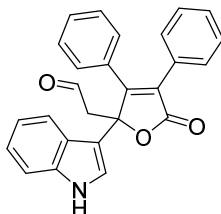
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow oil: 68 mg (86%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.67$  (s, 1H), 7.35 (d,  $J = 7.3$  Hz, 1H), 7.33–7.29 (m, 7H), 6.97 (d,  $J = 8.2$  Hz, 1H), 6.91 (s, 1H), 6.85–6.80 (m, 3H), 6.08 (d,  $J = 10.8$  Hz, 2H), 3.65 (d,  $J = 17.0$  Hz, 1H), 3.37–3.34 (m, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8, 171.3, 163.7, 148.4, 148.2, 131.3, 130.1, 130.0, 129.9, 129.6, 129.6, 129.2, 129.2, 128.7, 128.7, 128.7, 128.6, 128.6, 126.8, 120.5, 108.9, 106.8, 102.1, 87.5, 47.0$ ; HRMS (TOF ES+):  $m/z$  calcd for  $\text{C}_{25}\text{H}_{18}\text{NaO}_5$   $[(\text{M}+\text{Na})^+]$ , 421.1046, found, 421.1053.

### 2-(5-Oxo-3,4-diphenyl-2-(thiophen-2-yl)-2,5-dihydrofuran-2-yl)acetaldehyde (3q)



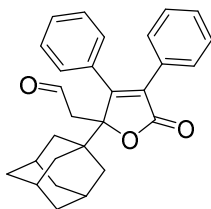
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 54 mg (75%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.69$  (s, 1H), 7.74 (d,  $J = 5.1$  Hz, 1H), 7.37 (d,  $J = 7.5$  Hz, 1H), 7.35–7.30 (m, 7H), 7.23 (d,  $J = 3.6$  Hz, 1H), 7.13–7.09 (m, 1H), 6.85 (d,  $J = 7.6$  Hz, 2H), 3.72 (d,  $J = 17.2$  Hz, 1H), 3.46 (d,  $J = 17.3$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.3, 170.6, 162.6, 141.1, 130.9, 130.3, 129.8, 129.5, 129.5, 129.4, 129.3, 129.3, 128.9, 128.9, 128.8, 128.6, 128.6, 128.2, 128.2, 126.6, 85.5, 47.2$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{22}\text{H}_{16}\text{NaO}_3\text{S}$  [(M+Na)<sup>+</sup>], 383.0712, found, 383.0722.

### 2-(2-(1H-Indol-3-yl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3r)



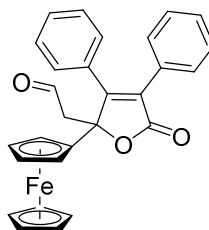
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 1:1$ ,  $R_f = 0.2$ ; Yellow solid: 25 mg (32%); mp = 222–223 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 8.96$  (s, 1H), 8.37 (d,  $J = 7.6$  Hz, 1H), 7.75 (s, 1H), 7.45–7.41 (m, 2H), 7.39–7.33 (m, 9H), 7.29–7.28 (m, 2H), 6.27 (d,  $J = 9.0$  Hz, 1H), 3.14 (dd,  $J = 15.9, 9.1$  Hz, 1H), 3.04 (dd,  $J = 16.1, 2.7$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 190.7, 172.6, 160.6, 136.5, 132.4, 130.7, 130.5, 129.9, 129.5, 129.5, 129.3, 129.3, 128.9, 128.7, 128.7, 128.4, 128.4, 126.8, 125.5, 124.1, 123.1, 122.4, 117.9, 111.7, 78.3, 43.0$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{26}\text{H}_{20}\text{NO}_3$  [(M+H)<sup>+</sup>], 394.1438, found, 394.1439.

### 2-(2-((3r,5r,7r)-Adamantan-1-yl)-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3s)



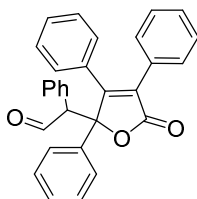
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.4$ ; Yellow oil: 59 mg (71%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 7.42$ –7.35 (m, 6H), 7.31–7.28 (m, 4H), 6.07 (dd,  $J = 8.8, 2.9$  Hz, 1H), 2.99 (dd,  $J = 17.8, 8.8$  Hz, 1H), 2.63 (dd,  $J = 17.8, 3.0$  Hz, 1H), 1.94–1.90 (m, 3H), 1.68–1.57 (m, 12H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 211.0, 172.1, 161.5, 131.0, 130.6, 130.5, 129.5, 129.5, 129.4, 129.4, 129.0, 128.9, 128.9, 128.7, 128.7, 126.1, 78.1, 46.3, 38.8, 37.3, 37.3, 37.3, 36.3, 36.3, 27.6, 27.6, 27.6, 27.6$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{28}\text{H}_{28}\text{NaO}_3$  [(M+Na)<sup>+</sup>], 435.1931, found, 435.1939.

### 2-(2-Ferrocenyl-5-oxo-3,4-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3t)



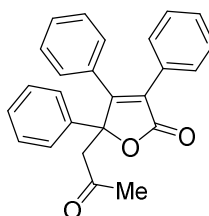
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 53 mg (57%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.83$  (s, 1H), 7.36–7.32 (m, 1H), 7.30–7.27 (m, 5H), 7.25–7.24 (m, 2H), 6.81 (d,  $J = 7.0$  Hz, 2H), 4.38–4.37 (m, 1H), 4.28 (s, 6H), 4.25–4.22 (m, 1H), 4.13–4.09 (m, 1H), 3.60 (d,  $J = 16.8$  Hz, 1H), 3.24 (d,  $J = 15.1$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 200.2$ , 171.1, 163.6, 131.6, 130.0, 129.9, 129.5, 129.5, 129.1, 129.1, 129.0, 128.7, 128.7, 128.5, 128.5, 126.2, 88.5, 86.2, 69.7, 69.6, 69.6, 69.6, 69.6, 69.6, 68.7, 68.6, 64.8, 47.4; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{28}\text{H}_{23}\text{FeO}_3$  [(M+H)<sup>+</sup>], 463.0991, found, 463.0967.

### 2-(5-Oxo-2,3,4-triphenyl-2,5-dihydrofuran-2-yl)-2-phenylacetaldehyde (3u)



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 8:1$ ,  $R_f = 0.3$ ; White solid: 43 mg (50%); mp = 200–201 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 7.89$  (d,  $J = 7.9$  Hz, 2H), 7.55 (t,  $J = 7.3$  Hz, 1H), 7.43–7.40 (m, 2H), 7.35–7.31 (m, 3H), 7.28–7.23 (m, 4H), 7.14–7.11 (m, 1H), 7.06–7.01 (m, 7H), 6.47 (d,  $J = 7.8$  Hz, 1H), 5.21 (d,  $J = 7.8$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 196.2$ , 171.5, 161.0, 135.6, 133.7, 133.4, 131.1, 130.0, 129.6, 129.6, 129.2, 129.2, 129.2, 128.9, 128.9, 128.6, 128.6, 128.5, 128.4, 128.4, 128.4, 128.4, 128.3, 128.3, 128.1, 128.1, 127.5, 127.0, 82.2, 54.7; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{30}\text{H}_{23}\text{O}_3$  [(M+H)<sup>+</sup>], 431.1642, found, 431.1646.

### 5-(2-Oxopropyl)-3,4,5-triphenylfuran-2(5H)-one (3v)

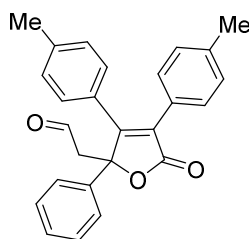


$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 42 mg (54%); mp = 155–156 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 7.43$ –7.39 (m, 3H), 7.34–7.25 (m, 10H), 6.73–6.66 (m, 2H), 3.75 (d,  $J = 17.1$  Hz, 1H), 3.55 (d,  $J = 17.2$  Hz, 1H), 2.15 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 204.6$ , 171.9, 164.1, 137.4, 131.6, 130.1, 129.8, 129.4, 129.4, 129.2, 129.2, 129.1, 129.1, 129.0, 129.0, 128.7, 128.7, 128.6, 128.6, 126.7, 126.1, 126.1,



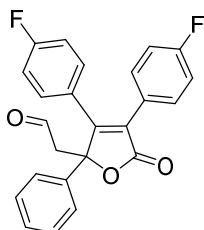
87.7, 45.8, 31.9; HRMS (TOF ES<sup>+</sup>): *m/z* calcd for C<sub>25</sub>H<sub>20</sub>NaO<sub>3</sub> [(M+H)<sup>+</sup>], 391.1305, found, 391.1312.

**2-(5-Oxo-2-phenyl-3,4-di-*p*-tolyl-2,5-dihydrofuran-2-yl)acetaldehyde (3w)**



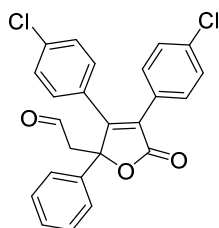
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; Yellow oil: 68 mg (89%); <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) δ = 9.68 (s, 1H), 7.46–7.42 (m, 3H), 7.34 (d, *J* = 6.7 Hz, 2H), 7.22 (d, *J* = 7.9 Hz, 2H), 7.12 (d, *J* = 7.9 Hz, 2H), 7.08 (d, *J* = 7.9 Hz, 2H), 6.64 (d, *J* = 7.9 Hz, 2H), 3.68 (dd, *J* = 17.0, 2.0 Hz, 1H), 3.41 (dd, *J* = 17.3, 2.1 Hz, 1H), 2.26 (s, 3H), 2.24 (s, 3H); <sup>13</sup>C NMR (150 MHz, DMSO-*d*<sub>6</sub>) δ = 199.8, 171.6, 163.3, 139.6, 138.7, 136.8, 129.8, 129.8, 129.5, 129.5, 129.4, 129.4, 129.4, 129.4, 129.3, 129.3, 128.5, 128.4, 127.1, 126.5, 126.3, 126.3, 87.4, 46.8, 21.3, 21.3; HRMS (TOF ES<sup>+</sup>): *m/z* calcd for C<sub>26</sub>H<sub>22</sub>NaO<sub>3</sub> [(M+Na)<sup>+</sup>], 405.1461, found, 405.1465.

**2-(3,4-Bis(4-fluorophenyl)-5-oxo-2-phenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3x)**



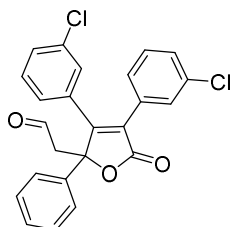
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; Yellow oil: 60 mg (77%); <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>) δ = 9.69 (s, 1H), 7.46–7.44 (m, 3H), 7.39–7.35 (m, 4H), 7.21–7.15 (m, 4H), 6.82–6.77 (m, 2H), 3.71 (dd, *J* = 17.1, 1.9 Hz, 1H), 3.47 (dd, *J* = 17.1, 2.0 Hz, 1H); <sup>13</sup>C NMR (125 MHz, DMSO-*d*<sub>6</sub>) δ = 199.8, 171.2, 163.0 (d, *J* = 246.3 Hz), 162.8, 162.6 (d, *J* = 246.3 Hz), 136.3, 131.8 (d, *J* = 8.4 Hz), 131.8 (d, *J* = 8.4 Hz), 131.0 (d, *J* = 8.6 Hz), 131.0 (d, *J* = 8.6 Hz), 129.6, 129.6, 129.5, 127.4 (d, *J* = 3.3 Hz), 126.4, 126.4, 126.4, 126.1 (d, *J* = 3.3 Hz), 116.5 (d, *J* = 21.9 Hz), 116.5 (d, *J* = 21.9 Hz), 115.9 (d, *J* = 21.6 Hz), 115.9 (d, *J* = 21.6 Hz), 87.6, 46.6; <sup>19</sup>F NMR (470 MHz, DMSO-*d*<sub>6</sub>) δ = -111.01, -111.87; HRMS (TOF ES<sup>+</sup>): *m/z* calcd for C<sub>24</sub>H<sub>17</sub>F<sub>2</sub>O<sub>3</sub> [(M+H)<sup>+</sup>], 391.1140, found, 391.1146.

**2-(3,4-Bis(4-chlorophenyl)-5-oxo-2-phenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3y)**



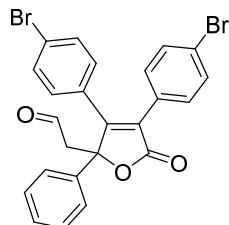
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 63 mg (75%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.68$  (s, 1H), 7.45–7.42 (m, 5H), 7.40 (d,  $J = 8.3$  Hz, 2H), 7.36–7.33 (m, 4H), 6.75 (d,  $J = 8.2$  Hz, 2H), 3.72 (d,  $J = 17.7$  Hz, 1H), 3.49 (d,  $J = 17.5$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8$ , 171.0, 163.0, 136.1, 135.0, 134.2, 131.4, 131.4, 130.4, 130.4, 129.8, 129.7, 129.5, 129.5, 129.5, 129.5, 129.0, 129.0, 129.0, 128.4, 126.4, 126.4, 87.6, 46.5; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{24}\text{H}_{17}\text{Cl}_2\text{O}_3$  [(M+H)<sup>+</sup>], 423.0549, found, 423.0556.

**2-(3,4-Bis(3-chlorophenyl)-5-oxo-2-phenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3z)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 62 mg (74%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.69$  (s, 1H), 7.47–7.45 (m, 4H), 7.42 (dd,  $J = 8.1$ , 2.2 Hz, 1H), 7.38–7.33 (m, 5H), 7.20 (d,  $J = 7.8$  Hz, 1H), 6.75 (s, 1H), 6.69 (d,  $J = 7.8$  Hz, 1H), 3.72 (d,  $J = 1.9$  Hz, 1H), 3.50 (d,  $J = 17.3$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8$ , 170.8, 163.2, 135.7, 133.8, 133.4, 132.8, 131.5, 131.2, 130.7, 130.1, 129.7, 129.5, 129.5, 129.3, 129.3, 128.2, 128.1, 127.4, 126.5, 126.5, 126.5, 87.8, 46.5; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{24}\text{H}_{17}\text{Cl}_2\text{O}_3$  [(M+Na)<sup>+</sup>], 423.0549, found, 423.0556.

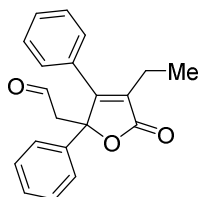
**2-(3,4-Bis(4-bromophenyl)-5-oxo-2-phenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3a')**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 63 mg (62%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.67$  (s, 1H), 7.56 (d,  $J = 8.2$  Hz, 2H), 7.53 (d,  $J = 8.1$  Hz, 2H), 7.46–7.44 (m, 3H), 7.35 (d,  $J = 8.1$  Hz, 2H), 7.27 (d,  $J = 8.2$  Hz, 2H), 6.67 (d,  $J = 8.2$  Hz, 2H), 3.72 (d,  $J = 17.2$  Hz, 1H), 3.48 (d,  $J = 16.2$  Hz, 1H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 199.8$ , 170.9, 163.1, 136.1, 132.4, 132.4, 131.9, 131.9, 131.6, 131.6, 130.6, 130.6,

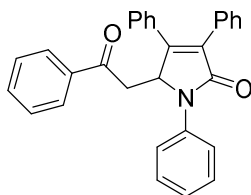
130.2, 129.7, 129.5, 129.5, 128.8, 126.5, 126.4, 126.4, 123.9, 123.0, 87.6, 46.5; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>24</sub>H<sub>17</sub>Br<sub>2</sub>O<sub>3</sub> [(M+H)<sup>+</sup>], 510.9539, found, 510.9542.

**2-(4-Ethyl-5-oxo-2,3-diphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (3b')**



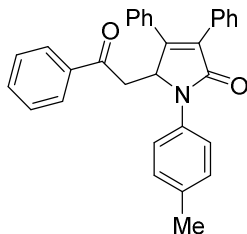
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; Yellow oil: 50 mg (82%); <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) δ = 9.65 (s, 1H), 7.51–4.46 (m, 8H), 7.44–7.41 (m, 2H), 3.84 (dd, *J* = 16.9, 2.4 Hz, 1H), 3.45 (dd, *J* = 16.9, 1.9 Hz, 1H), 2.44–2.36 (m, 2H), 0.60 (t, *J* = 7.6 Hz, 3H); <sup>13</sup>C NMR (150 MHz, DMSO-*d*<sub>6</sub>) δ = 200.1, 172.0, 169.2, 137.3, 130.4, 129.5, 129.5, 129.3, 129.2, 129.2, 129.1, 128.9, 128.9, 126.0, 126.0, 125.8, 87.7, 46.9, 19.5, 12.3; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>20</sub>H<sub>18</sub>NaO<sub>3</sub> [(M+Na)<sup>+</sup>], 329.1148, found, 329.1153.

**5-(2-Oxo-2-phenylethyl)-1,3,4-triphenyl-1,5-dihydro-2H-pyrrol-2-one (5a)**



V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; White solid: 55 mg (64%); mp = 196–197 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ = 7.65 (d, *J* = 7.9 Hz, 2H), 7.55–7.51 (m, 2H), 7.47–7.41 (m, 3H), 7.36–7.26 (m, 9H), 7.24–7.19 (m, 3H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.12 (t, *J* = 4.9 Hz, 1H), 3.20–3.14 (m, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ = 197.2, 168.6, 153.1, 137.0, 136.6, 133.3, 132.5, 132.0, 131.3, 130.0, 130.0, 129.4, 129.2, 129.2, 129.1, 129.1, 128.9, 128.9, 128.5, 128.5, 128.4, 128.4, 128.3, 127.9, 127.9, 125.3, 122.9, 122.9, 58.6, 40.1; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>30</sub>H<sub>23</sub>NNaO<sub>2</sub> [(M+Na)<sup>+</sup>], 452.1621, found, 452.1626.

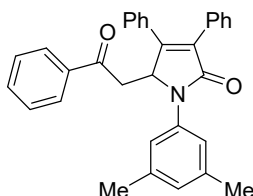
**5-(2-Oxo-2-phenylethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5b)**



V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; Yellow solid: 58 mg (65%); mp = 200–201 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ = 7.53 (d, *J* = 7.8 Hz, 2H), 7.50 (d, *J* = 8.0 Hz, 2H), 7.47–7.42 (m, 3H), 7.32–7.30 (m, 3H), 7.29–7.26 (m, 4H), 7.24–7.20 (m, 3H), 7.13 (d, *J* = 8.0 Hz, 2H), 6.08 (t, *J* = 4.9 Hz, 1H), 3.16 (d, *J* = 5.0 Hz, 2H), 2.28 (s, 3H); <sup>13</sup>C

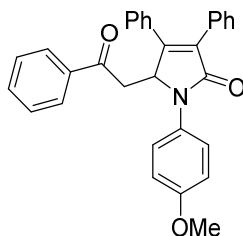
NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  = 197.1, 168.4, 152.8, 136.5, 135.0, 134.1, 133.1, 132.4, 131.9, 131.2, 129.8, 129.8, 129.7, 129.7, 129.2, 128.9, 128.9, 128.7, 128.7, 128.3, 128.3, 128.2, 128.2, 128.1, 127.8, 127.8, 123.0, 123.0, 58.6, 40.0, 20.9; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for C<sub>31</sub>H<sub>25</sub>NNaO<sub>2</sub> [(M+Na)<sup>+</sup>], 466.1778, found, 466.1782.

**1-(3,5-Dimethylphenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5c)**



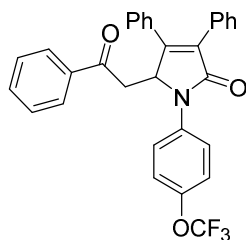
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; White solid: 44 mg (48%); mp = 158–159 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.53 (d,  $J$  = 7.2 Hz, 2H), 7.47–7.41 (m, 3H), 7.33–7.28 (m, 7H), 7.25–7.20 (m, 5H), 6.73 (s, 1H), 6.04 (t,  $J$  = 5.0 Hz, 1H), 3.17 (dd,  $J$  = 16.8, 4.7 Hz, 1H), 3.12 (dd,  $J$  = 16.8, 5.3 Hz, 1H), 2.25 (s, 6H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  = 197.3, 168.6, 152.9, 138.8, 138.8, 136.7, 133.2, 133.2, 132.6, 132.2, 131.4, 130.0, 130.0, 129.3, 129.1, 129.1, 128.9, 128.9, 128.4, 128.4, 128.3, 128.3, 128.2, 127.9, 127.9, 127.3, 121.2, 121.2, 59.2, 40.1, 21.5, 21.5; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for C<sub>32</sub>H<sub>27</sub>NNaO<sub>2</sub> [(M+Na)<sup>+</sup>], 480.1934, found, 480.1940.

**1-(4-Methoxyphenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5d)**



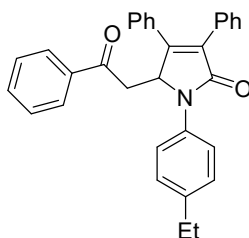
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.3; Yellow solid: 61 mg (66%); mp = 177–178 °C; <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.54 (d,  $J$  = 7.8 Hz, 2H), 7.48–7.42 (m, 5H), 7.33–7.23 (m, 7H), 7.25–7.22 (m, 3H), 6.84 (d,  $J$  = 8.5 Hz, 2H), 6.04 (t,  $J$  = 5.0 Hz, 1H), 3.75 (s, 3H), 3.18–3.08 (m, 2H); <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>)  $\delta$  = 197.1, 168.5, 157.3, 152.6, 136.5, 133.2, 132.4, 132.0, 131.3, 129.8, 129.8, 129.6, 129.2, 128.9, 128.9, 128.8, 128.8, 128.4, 128.4, 128.3, 128.3, 128.1, 127.8, 127.8, 125.2, 125.2, 114.3, 114.3, 59.1, 55.4, 40.1; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for C<sub>31</sub>H<sub>25</sub>NNaO<sub>3</sub> [(M+Na)<sup>+</sup>], 482.1727, found, 482.1727.

**5-(2-Oxo-2-phenylethyl)-3,4-diphenyl-1-(4-(trifluoromethoxy)phenyl)-1,5-dihydro-2H-pyrrol-2-one (5e)**



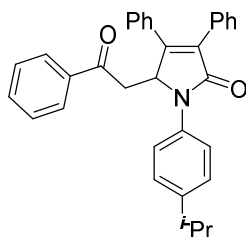
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 64 mg (62%); mp = 172–173 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.68$  (d,  $J = 8.6$  Hz, 2H), 7.54 (d,  $J = 7.8$  Hz, 2H), 7.47–7.44 (m, 3H), 7.35–7.32 (m, 3H), 7.30–7.27 (m, 4H), 7.25–7.22 (m, 3H), 7.18 (d,  $J = 8.6$  Hz, 2H), 6.10 (t,  $J = 5.0$  Hz, 1H), 3.22–3.12 (m, 2H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 197.1, 168.6, 153.2, 146.0, 136.3, 135.4, 133.5, 133.5, 132.2, 131.6, 130.9, 129.8, 129.8, 129.5, 128.9, 128.9, 128.9, 128.9, 128.5, 128.5, 128.3, 128.3, 128.3, 127.8, 127.8, 123.9, 123.9, 121.8, 121.8, 58.5, 40.0$ ;  $^{19}\text{F NMR}$  (470 MHz,  $\text{CDCl}_3$ )  $\delta = -57.99$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{31}\text{H}_{22}\text{F}_3\text{NNaO}_3$  [(M+Na)<sup>+</sup>], 536.1444, found, 536.1453.

**1-(4-Ethylphenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5f)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 65 mg (71%); mp = 195–196 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$ –7.50 (m, 4H), 7.47–7.41 (m, 3H), 7.33–7.31 (m, 3H), 7.29–7.27 (m, 3H), 7.26–7.21 (m, 4H), 7.15 (d,  $J = 8.1$  Hz, 2H), 6.08 (t,  $J = 5.0$  Hz, 1H), 3.19–3.11 (m, 2H), 2.57 (q,  $J = 7.6$  Hz, 2H), 1.17 (t,  $J = 7.6$  Hz, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 197.3, 168.5, 152.9, 141.5, 136.6, 134.4, 133.3, 132.5, 132.1, 131.3, 129.9, 129.9, 129.3, 129.0, 129.0, 128.8, 128.8, 128.6, 128.6, 128.4, 128.4, 128.3, 128.3, 128.2, 127.9, 127.9, 123.2, 123.2, 58.9, 40.1, 28.4, 15.6$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{32}\text{H}_{27}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 480.1934, found, 480.1934.

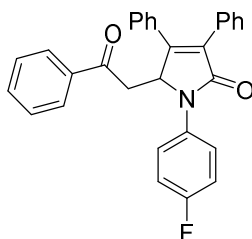
**1-(4-Isopropylphenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5g)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 63 mg (67%); mp = 194–195 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$ –7.48 (m, 4H), 7.48–7.45 (m, 2H), 7.44–7.40 (m, 1H), 7.33–7.27 (m, 6H), 7.25–7.21 (m, 4H), 7.17 (d,  $J = 8.4$  Hz, 2H), 6.07 (t,  $J = 5.0$

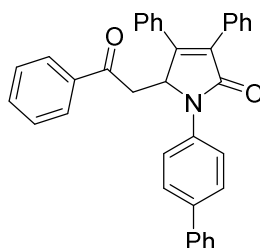
Hz, 1H), 3.19–3.10 (m, 2H), 2.86–2.80 (m, 1H), 1.2 (d,  $J = 7.0$  Hz, 6H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 197.4, 168.5, 152.9, 146.1, 136.8, 134.5, 133.2, 132.6, 132.2, 131.4, 130.0, 130.0, 129.3, 129.1, 129.1, 128.9, 128.9, 128.4, 128.4, 128.3, 128.3, 128.2, 127.9, 127.9, 127.2, 127.2, 123.3, 123.3, 59.2, 40.2, 33.8, 24.1, 24.0$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{33}\text{H}_{29}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 494.2091, found, 494.2097.

**1-(4-Fluorophenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5h)**



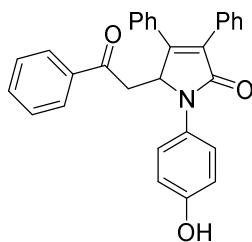
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 51 mg (57%); mp = 173–174 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.59\text{--}7.53$  (m, 4H), 7.48–7.44 (m, 3H), 7.33–7.30 (m, 3H), 7.29–7.27 (m, 3H), 7.26–7.23 (m, 4H), 7.05–6.98 (m, 2H), 6.07 (t,  $J = 5.0$  Hz, 1H), 3.15 (d,  $J = 5.0$  Hz, 2H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 197.0, 168.5, 160.1$  ( $J = 243.8$  Hz), 152.9, 136.4, 133.4, 132.8 (d,  $J = 2.5$  Hz), 132.3, 131.8, 131.0, 129.8, 129.8, 129.4, 128.8, 128.8, 128.8, 128.8, 128.4, 128.4, 128.3, 128.3, 128.3, 128.3, 127.8, 125.1 (d,  $J = 8.8$  Hz), 125.1 (d,  $J = 8.8$  Hz), 115.8 (d,  $J = 22.5$  Hz), 115.8 (d,  $J = 22.5$  Hz), 58.8, 40.0;  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ )  $\delta = -116.6$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{30}\text{H}_{22}\text{FNNaO}_2$  [(M+Na)<sup>+</sup>], 470.1527, found, 470.1530.

**1-([1,1'-Biphenyl]-4-yl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5i)**



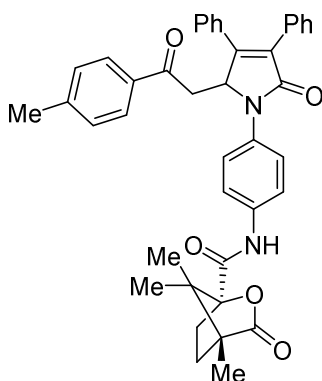
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 56 mg (55%); mp = 179–180 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.73$  (d,  $J = 8.2$  Hz, 2H), 7.59–7.52 (m, 6H), 7.47 (d,  $J = 5.4$  Hz, 2H), 7.44–7.40 (m, 3H), 7.35–7.28 (m, 8H), 7.25–7.24 (m, 3H), 6.15 (t,  $J = 4.9$  Hz, 1H), 3.22 (d,  $J = 4.9$  Hz, 2H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 197.3, 168.7, 153.2, 140.6, 138.0, 136.6, 136.2, 133.4, 132.5, 132.0, 131.3, 130.0, 130.0, 129.4, 129.1, 129.1, 128.9, 128.9, 128.9, 128.9, 128.5, 128.5, 128.4, 128.4, 128.3, 127.9, 127.9, 127.9, 127.9, 127.3, 127.1, 127.1, 123.1, 123.1, 58.7, 40.2$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{36}\text{H}_{27}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 528.1934, found, 528.1942.

**1-(4-Hydroxyphenyl)-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (5j)**



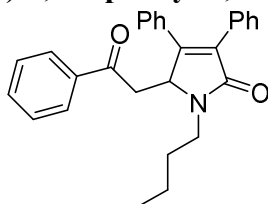
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 1:1$ ,  $R_f = 0.2$ ; Yellow solid: 42 mg (47%); mp = 206–207 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53\text{--}7.49$  (m, 2H), 7.47–7.44 (m, 2H), 7.43–7.41 (m, 1H), 7.35–7.30 (m, 3H), 7.28–7.26 (m, 3H), 7.25–7.24 (m, 5H), 7.17 (d,  $J = 8.6$  Hz, 2H), 6.58 (d,  $J = 8.7$  Hz, 2H), 5.94 (t,  $J = 5.1$  Hz, 1H), 3.21–3.03 (m, 2H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.9, 169.4, 154.8, 153.1, 136.5, 133.2, 132.3, 132.0, 131.1, 129.8, 129.8, 129.2, 128.8, 128.8, 128.8, 128.8, 128.4, 128.4, 128.4, 128.3, 128.3, 128.2, 127.7, 127.7, 126.5, 126.5, 116.4, 116.4, 60.2, 39.8$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{30}\text{H}_{23}\text{NNaO}_3$  [(M+Na)<sup>+</sup>], 468.1570, found, 468.1577.

**4,7,7-Trimethyl-3-oxo-*N*-(4-(2-oxo-5-(2-oxo-2-(*p*-tolyl)ethyl)-3,4-diphenyl-2,5-dihydro-1*H*-pyrrol-1-yl)phenyl)-2-oxabicyclo[2.2.1]heptane-1-carboxamide (5k)**



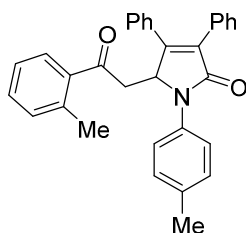
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow oil: 82 mg (64%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 9.86$  (s, 1H), 7.73 (d,  $J = 8.6$  Hz, 2H), 7.54 (dd,  $J = 9.0, 2.6$  Hz, 2H), 7.43 (d,  $J = 7.9$  Hz, 2H), 7.35–7.32 (m, 3H), 7.31–7.26 (m, 7H), 7.09 (d,  $J = 7.9$  Hz, 2H), 6.15 (t,  $J = 4.7$  Hz, 1H), 3.28–3.24 (m, 1H), 3.14 (dd,  $J = 17.2, 4.1$  Hz, 1H), 2.49–2.47 (m, 1H), 2.26 (s, 3H), 2.03–2.00 (m, 1H), 1.93–1.88 (m, 1H), 1.61–1.59 (m, 1H), 1.05 (s, 6H), 0.91 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 196.6, 178.5, 168.4, 165.7, 153.7, 144.0, 135.3, 135.2, 134.5, 133.4, 132.5, 131.9, 131.9, 129.9, 129.9, 129.5, 129.4, 129.4, 129.1, 129.0, 129.0, 128.6, 128.6, 128.4, 128.2, 128.2, 123.5, 123.5, 121.8, 121.7, 92.3, 59.1, 55.0, 54.1, 38.1, 30.5, 28.9, 21.5, 17.0, 16.8, 10.1$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{41}\text{H}_{38}\text{N}_2\text{NaO}_5$  [(M+Na)<sup>+</sup>], 661.2673, found, 661.2681.

**1-Butyl-5-(2-oxo-2-phenylethyl)-3,4-diphenyl-1,5-dihydro-2*H*-pyrrol-2-one (5l)**



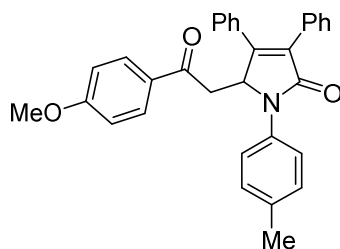
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.4$ ; White solid: 30 mg (37%); mp = 142–143 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.8$  (d,  $J = 7.2$  Hz, 2H), 7.56 (t,  $J = 7.4$  Hz, 1H), 7.45–7.39 (m, 4H), 7.30–7.27 (m, 6H), 7.24–7.21 (m, 2H), 5.50 (dd,  $J = 7.9, 2.8$  Hz, 1H), 3.90–3.85 (m, 1H), 3.21–3.16 (m, 1H), 3.05–2.93 (m, 2H), 1.70–1.61 (m, 1H), 1.57–1.49 (m, 1H), 1.35–1.24 (m, 2H), 0.89 (t,  $J = 7.4$  Hz, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 197.4, 170.0, 152.2, 136.5, 133.8, 132.6, 131.7, 129.9, 129.9, 129.2, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 128.3, 128.3, 128.2, 128.2, 128.1, 57.3, 41.3, 41.1, 30.6, 20.3, 20.3, 13.9$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{28}\text{H}_{27}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 432.1934, found, 432.1938.

**5-(2-Oxo-2-(*o*-tolyl)ethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5m)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 39 mg (43%); mp = 159–160 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.52$  (d,  $J = 8.5$  Hz, 2H), 7.47–7.42 (m, 2H), 7.34–7.27 (m, 8H), 7.24–7.22 (m, 1H), 7.18 (d,  $J = 8.2$  Hz, 2H), 7.10 (d,  $J = 7.6$  Hz, 1H), 7.02–6.99 (m, 1H), 6.97–6.95 (m, 1H), 6.07 (t,  $J = 4.8$  Hz, 1H), 3.11 (dd,  $J = 4.8, 2.9$  Hz, 2H), 2.32 (s, 3H), 2.22 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 200.5, 168.6, 152.9, 138.1, 137.4, 135.2, 134.4, 132.6, 132.3, 131.8, 131.6, 131.4, 130.0, 130.0, 129.9, 129.9, 129.4, 129.0, 129.0, 128.9, 128.9, 128.4, 128.4, 128.3, 128.2, 125.6, 123.1, 123.1, 58.3, 43.1, 21.1, 20.9$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{32}\text{H}_{27}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 480.1934, found, 480.1934.

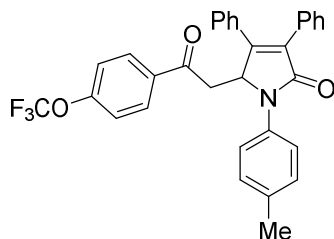
**5-(2-(4-Methoxyphenyl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5n)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; White solid: 57 mg (60%); mp = 180–181 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.55$ –7.49 (m, 4H), 7.48–7.44 (m, 2H), 7.34–7.27 (m, 5H), 7.23–7.20 (m, 3H), 7.13 (d,  $J = 8.0$  Hz, 2H), 6.73 (d,  $J = 8.8$  Hz, 2H), 6.07 (t,  $J = 5.0$  Hz, 1H), 3.79 (s, 3H), 3.10 (d,  $J = 5.0$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 195.5, 168.6, 163.7, 153.2, 135.0, 134.4, 132.5, 132.2, 131.5, 130.3, 130.3, 130.0, 130.0, 129.8, 129.8, 129.8, 129.3, 129.1, 129.1, 128.8, 128.8, 128.3, 128.3, 128.2, 123.1, 123.1, 113.6, 113.6, 58.9, 55.6, 39.8, 21.0$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{32}\text{H}_{27}\text{NNaO}_3$  [(M+Na)<sup>+</sup>], 496.1883, found, 496.1887.

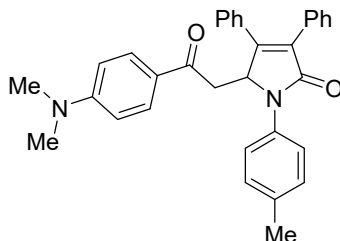


**5-(2-Oxo-2-(4-(trifluoromethoxy)phenyl)ethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5o)**



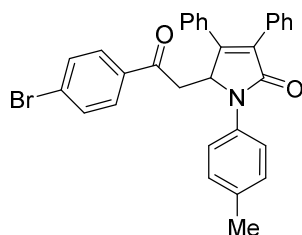
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 64 mg (61%); mp = 140–141 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.55$  (d,  $J = 8.9$  Hz, 2H), 7.47–7.44 (m, 4H), 7.33–7.29 (m, 3H), 7.27–7.26 (m, 2H), 7.24–7.20 (m, 3H), 7.12 (d,  $J = 8.1$  Hz, 2H), 7.08 (d,  $J = 8.4$  Hz, 2H), 6.01 (t,  $J = 5.1$  Hz, 1H), 3.12 (d,  $J = 4.9$  Hz, 2H), 2.27 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 195.7, 168.3, 152.4, 135.2, 134.7, 134.1, 132.6, 132.0, 131.1, 129.8, 129.8, 129.8, 129.8, 129.8, 129.8, 129.7, 129.3, 128.9, 128.9, 128.9, 128.8, 128.8, 128.2, 128.2, 128.2, 123.2, 123.2, 120.0, 120.0, 59.1, 39.8, 20.9$ ;  $^{19}\text{F NMR}$  (470 MHz,  $\text{CDCl}_3$ )  $\delta = -57.67$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{32}\text{H}_{24}\text{F}_3\text{NNaO}_3$  [(M+Na)<sup>+</sup>], 550.1600, found, 550.1608.

**5-(2-(4-(Dimethylamino)phenyl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5p)**



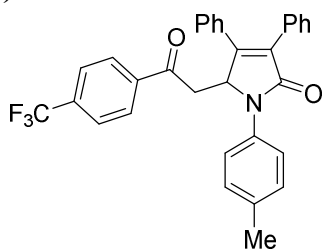
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow solid: 41 mg (42%); mp = 242–243 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.54$  (d,  $J = 8.1$  Hz, 2H), 7.50 (d,  $J = 9.1$  Hz, 2H), 7.48–7.44 (m, 2H), 7.33–7.28 (m, 5H), 7.21–7.20 (m, 3H), 7.13 (d,  $J = 8.1$  Hz, 2H), 6.46 (d,  $J = 9.1$  Hz, 2H), 6.14 (t,  $J = 4.9$  Hz, 1H), 3.08 (d,  $J = 4.9$  Hz, 2H), 2.98 (s, 6H), 2.27 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 194.2, 168.5, 153.5, 153.3, 134.6, 134.4, 132.1, 132.1, 131.5, 130.1, 130.1, 129.9, 129.9, 129.6, 129.6, 129.0, 129.0, 129.0, 128.6, 128.6, 128.2, 128.2, 128.0, 124.5, 122.8, 122.8, 110.3, 110.3, 58.4, 40.0, 40.0, 39.3, 20.9$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{33}\text{H}_{30}\text{N}_2\text{NaO}_2$  [(M+Na)<sup>+</sup>], 509.2199, found, 509.2205.

**5-(2-(4-Bromophenyl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5q)**



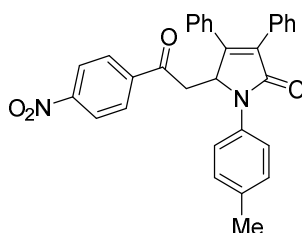
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 60 mg (58%); mp = 184–185 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.49\text{--}7.43$  (m, 4H), 7.41–7.35 (m, 4H), 7.33–7.29 (m, 3H), 7.26–7.21 (m, 5H), 7.13 (d,  $J = 8.1$  Hz, 2H), 6.01 (t,  $J = 5.1$  Hz, 1H), 3.10 (d,  $J = 4.3$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.2, 168.3, 152.5, 135.3, 135.2, 134.1, 132.6, 132.0, 131.6, 131.6, 131.6, 131.1, 129.8, 129.8, 129.7, 129.7, 129.3, 129.3, 128.9, 128.9, 128.8, 128.8, 128.4, 128.2, 128.2, 128.2, 123.1, 123.1, 59.0, 39.8, 20.9$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{24}\text{BrNNaO}_2$  [(M+Na)<sup>+</sup>], 544.0883, found, 544.0887.

**5-(2-Oxo-2-(4-(trifluoromethyl)phenyl)ethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5r)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow solid: 63 mg (62%); mp = 148–149 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.58$  (d,  $J = 8.3$  Hz, 2H), 7.52 (d,  $J = 8.2$  Hz, 2H), 7.45 (d,  $J = 8.2$  Hz, 4H), 7.33–7.26 (m, 5H), 7.25–7.21 (m, 3H), 7.12 (d,  $J = 8.0$  Hz, 2H), 6.01 (t,  $J = 5.1$  Hz, 1H), 3.15 (dd,  $J = 5.1, 1.7$  Hz, 2H), 2.27 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.6, 168.4, 152.4, 139.3, 135.4, 134.2, 132.8, 134.5$  (d,  $J = 31.3$  Hz), 132.1, 131.2, 129.9, 129.9, 129.9, 129.9, 129.4, 129.4, 129.0, 129.0, 129.0, 128.7 (d,  $J = 2.5$  Hz), 128.4, 128.4, 128.2, 128.2, 125.5 (q,  $J = 3.8$  Hz), 123.6 (d,  $J = 270.0$  Hz), 123.3, 123.3, 59.3, 40.2, 21.0;  $^{19}\text{F NMR}$  (470 MHz,  $\text{CDCl}_3$ )  $\delta = -63.23$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{32}\text{H}_{24}\text{F}_3\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 534.1651, found, 534.1653.

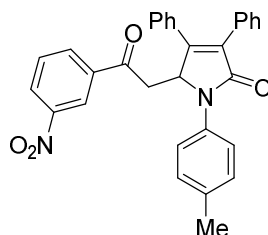
**5-(2-(4-Nitrophenyl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5s)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ; Yellow solid: 50 mg (51%); mp = 188–189 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 8.09$  (d,  $J = 8.9$  Hz, 2H), 7.60 (d,  $J = 8.9$  Hz, 2H),

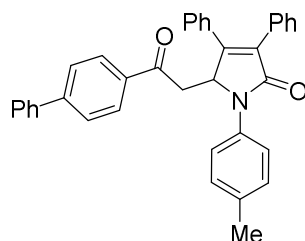
7.46–7.44 (m, 4H), 7.31–7.30 (m, 3H), 7.26–7.23 (m, 5H), 7.13 (d,  $J = 8.1$  Hz, 2H), 5.98 (t,  $J = 5.1$  Hz, 1H), 3.17 (d,  $J = 5.1$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.1, 168.2, 152.0, 150.1, 140.9, 135.4, 134.0, 132.8, 131.9, 130.9, 129.8, 129.8, 129.8, 129.4, 128.9, 128.9, 128.9, 128.9, 128.7, 128.7, 128.3, 128.3, 128.3, 123.5, 123.5, 123.2, 123.2, 59.2, 40.2, 20.9$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{24}\text{N}_2\text{NaO}_4$  [(M+Na)<sup>+</sup>], 511.1628, found, 511.1635.

**5-(2-(3-Nitrophenyl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5t)**



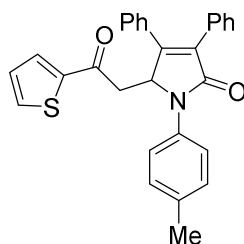
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.1$ ; White solid: 73 mg (75%); mp = 190–191 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta = 8.27$  (d,  $J = 8.0$  Hz, 1H), 8.21 (s, 1H), 7.84 (d,  $J = 7.7$  Hz, 1H), 7.50–7.43 (m, 5H), 7.33–7.27 (m, 5H), 7.24–7.22 (m, 3H), 7.12 (d,  $J = 8.0$  Hz, 2H), 5.98 (t,  $J = 5.2$  Hz, 1H), 3.22–3.15 (m, 2H), 2.26 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 195.4, 168.2, 152.0, 148.0, 137.8, 135.4, 134.0, 133.1, 132.9, 131.9, 130.9, 129.8, 129.8, 129.8, 129.8, 129.5, 129.3, 128.9, 128.9, 128.9, 128.9, 128.3, 128.3, 128.3, 127.3, 123.3, 123.3, 122.7, 59.4, 39.9, 20.8$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{24}\text{N}_2\text{NaO}_4$  [(M+Na)<sup>+</sup>], 511.1628, found, 511.1630.

**5-(2-([1,1'-Biphenyl]-4-yl)-2-oxoethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5u)**



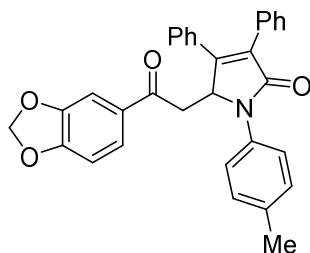
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 34 mg (33%); mp = 210–211 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.61$  (d,  $J = 8.1$  Hz, 2H), 7.56–7.52 (m, 3H), 7.50 (d,  $J = 4.6$  Hz, 2H), 7.49–7.47 (m, 2H), 7.47–7.42 (m, 3H), 7.39–7.38 (m, 1H), 7.32–7.29 (m, 5H), 7.24–7.23 (m, 3H), 7.14 (d,  $J = 8.1$  Hz, 2H), 6.09 (t,  $J = 4.9$  Hz, 1H), 3.19 (dd,  $J = 5.0, 2.0$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.7, 168.6, 153.0, 146.0, 139.8, 135.3, 135.2, 134.3, 132.6, 132.2, 131.4, 130.0, 130.0, 129.8, 129.8, 129.3, 129.1, 129.1, 129.1, 129.1, 128.9, 128.9, 128.5, 128.5, 128.4, 128.4, 128.4, 128.3, 127.3, 127.3, 127.0, 127.0, 123.2, 123.2, 58.9, 40.1, 21.1$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{37}\text{H}_{29}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 542.2091, found, 542.2096.

**5-(2-Oxo-2-(thiophen-2-yl)ethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5v)**



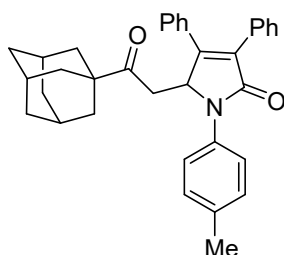
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 42 mg (47%); mp = 148–149 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.52\text{--}7.43$  (m, 5H), 7.33–7.26 (m, 5H), 7.24–7.18 (m, 4H), 7.14 (d,  $J = 8.0$  Hz, 2H), 6.90–6.88 (m, 1H), 5.98 (t,  $J = 5.1$  Hz, 1H), 3.08 (d,  $J = 5.1$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 189.6, 168.5, 152.7, 143.8, 135.2, 134.3, 134.2, 132.6, 132.2, 132.1, 131.3, 130.0, 130.0, 129.8, 129.8, 129.3, 129.1, 129.1, 128.9, 128.9, 128.4, 128.4, 128.3, 127.9, 123.2, 123.2, 59.1, 40.8, 21.0$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{29}\text{H}_{23}\text{NNaO}_2\text{S}$  [(M+Na)<sup>+</sup>], 472.1342, found, 472.1346.

**5-(2-(Benzo[d][1,3]dioxol-5-yl)-2-oxoethyl)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5w)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.1$  White solid: 40 mg (41%); mp = 195–196 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.49$  (d,  $J = 8.2$  Hz, 2H), 7.47–7.43 (m, 2H), 7.32–7.30 (m, 3H), 7.29–7.27 (m, 2H), 7.25–7.21 (m, 3H), 7.14 (d,  $J = 8.0$  Hz, 2H), 7.10 (dd,  $J = 8.2, 1.8$  Hz, 1H), 7.05 (d,  $J = 1.7$  Hz, 1H), 6.63 (d,  $J = 8.2$  Hz, 1H), 6.04 (t,  $J = 5.0$  Hz, 1H), 5.97 (s, 2H), 3.07 (d,  $J = 5.0$  Hz, 2H), 2.29 (s, 3H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 194.9, 168.4, 152.9, 151.8, 147.9, 135.0, 134.2, 132.4, 132.0, 131.4, 131.2, 129.8, 129.8, 129.6, 129.6, 129.1, 128.9, 128.9, 128.7, 128.7, 128.2, 128.2, 128.1, 124.2, 123.0, 123.0, 107.6, 107.5, 101.8, 58.8, 39.8, 20.9$ ; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{32}\text{H}_{25}\text{NNaO}_4$  [(M+Na)<sup>+</sup>], 510.1676, found, 510.1680.

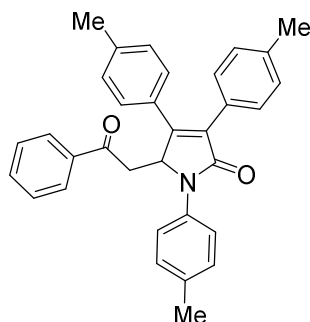
**5-(2-((3r,5r,7r)-Adamantan-1-yl)-2-oxoethyl)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5x)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.4$ ; White solid: 49 mg (49%); mp = 222–223 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.51$  (d,  $J = 8.5$  Hz, 2H), 7.45–7.40 (m, 2H), 7.34–

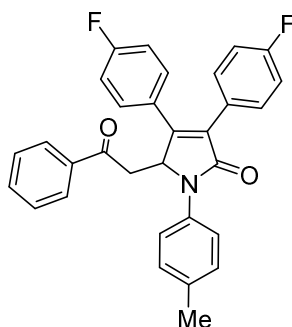
7.27 (m, 6H), 7.25–7.17 (m, 4H), 6.01 (t,  $J = 4.8$  Hz, 1H), 2.69–2.61 (m, 2H), 2.34 (s, 3H), 1.87–1.80 (m, 3H), 1.61–1.56 (m, 3H), 1.47–1.45 (m, 3H), 1.29 (s, 6H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 212.1, 168.5, 153.4, 134.8, 134.4, 132.3, 132.1, 131.4, 130.0, 130.0, 129.8, 129.8, 129.3, 129.3, 129.3, 128.8, 128.8, 128.3, 128.3, 128.2, 122.7, 122.7, 57.4, 46.5, 38.3, 37.6, 37.6, 37.6, 36.4, 36.4, 36.4, 27.8, 27.8, 27.8, 21.1$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{35}\text{H}_{35}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 524.2560, found, 524.2566.

### 5-(2-Oxo-2-phenylethyl)-1,3,4-tri-*p*-tolyl-1,5-dihydro-2*H*-pyrrol-2-one (5y)



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 64 mg (68%); mp = 116–117 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$  (d,  $J = 7.9$  Hz, 2H), 7.49 (d,  $J = 8.1$  Hz, 2H), 7.44 (t,  $J = 7.4$  Hz, 1H), 7.36 (d,  $J = 7.7$  Hz, 2H), 7.29–7.26 (m, 3H), 7.17 (d,  $J = 7.8$  Hz, 2H), 7.14–7.10 (m, 4H), 7.02 (d,  $J = 7.8$  Hz, 2H), 6.04 (t,  $J = 5.0$  Hz, 1H), 3.17–3.10 (m, 2H), 2.34 (s, 3H), 2.26 (s, 3H), 2.25 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 197.3, 168.7, 152.3, 139.2, 137.8, 136.5, 134.8, 134.2, 133.1, 131.6, 129.6, 129.6, 129.6, 129.6, 129.4, 129.4, 129.1, 128.9, 128.9, 128.8, 128.8, 128.4, 128.2, 128.2, 127.8, 127.8, 123.0, 123.0, 58.5, 40.3, 21.4, 21.3, 20.9$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{33}\text{H}_{29}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 494.2091, found, 494.2100.

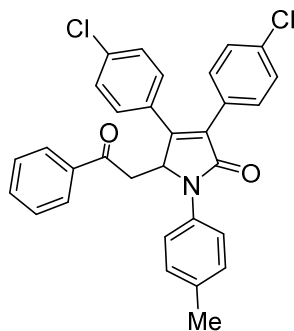
### 3,4-Bis(4-fluorophenyl)-5-(2-oxo-2-phenylethyl)-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5z)



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 70 mg (73%); mp = 159–160 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.54$  (d,  $J = 7.5$  Hz, 2H), 7.49–7.41 (m, 5H), 7.29 (t,  $J = 7.9$  Hz, 2H), 7.25–7.24 (m, 2H), 7.14 (d,  $J = 8.1$  Hz, 2H), 7.02 (t,  $J = 8.7$  Hz, 2H), 6.93 (t,  $J = 8.6$  Hz, 2H), 6.03 (t,  $J = 5.0$  Hz, 1H), 3.15 (d,  $J = 6.2$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 195.1, 166.3, 161.2$  (d,  $J = 250.5$  Hz), 160.8 (d,  $J = 246.0$  Hz), 149.9, 134.5, 133.4, 132.1, 131.6, 129.8 (d,  $J = 9.0$  Hz), 129.8 (d,  $J = 9.0$  Hz), 129.7, 129.0 (d,  $J = 9.0$  Hz), 129.0 (d,  $J = 9.0$  Hz), 127.9, 127.9, 126.6, 126.6, 126.0, 126.0, 126.0, 125.1 (d,  $J = 3.0$  Hz), 121.0, 121.0, 114.2 (d,  $J = 21.0$  Hz), 114.2

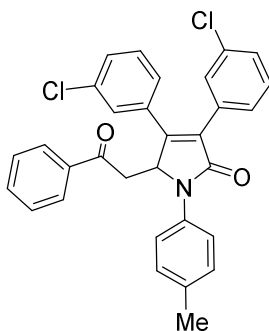
(d,  $J = 21.0$  Hz), 113.6 (d,  $J = 21.0$  Hz), 113.6 (d,  $J = 21.0$  Hz), 56.8, 37.9, 19.1;  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ )  $\delta = -110.71, -112.80$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{23}\text{F}_2\text{NNaO}_2$  [(M+Na) $^+$ ], 502.1589, found, 502.1599.

**3,4-Bis(4-chlorophenyl)-5-(2-oxo-2-phenylethyl)-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5a')**



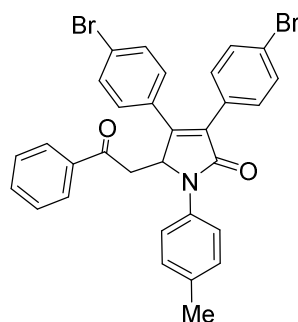
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 55 mg (54%); mp = 159–160 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.54$  (d,  $J = 7.2$  Hz, 2H), 7.48–7.45 (m, 3H), 7.39 (d,  $J = 8.5$  Hz, 2H), 7.31–7.28 (m, 4H), 7.23–7.18 (m, 4H), 7.14 (d,  $J = 8.1$  Hz, 2H), 6.01 (t,  $J = 5.0$  Hz, 1H), 3.14 (dd,  $J = 4.9, 1.9$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta = 196.8, 167.8, 151.9, 136.3, 135.5, 135.3, 134.4, 133.9, 133.4, 131.8, 131.1, 131.1, 130.2, 130.2, 130.2, 129.8, 129.8, 129.3, 129.2, 129.2, 128.7, 128.7, 128.4, 128.4, 127.8, 127.8, 123.0, 123.0, 58.7, 39.6, 20.9$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{23}\text{Cl}_2\text{NNaO}_2$  [(M+Na) $^+$ ], 534.0998, found, 534.1006.

**3,4-Bis(3-chlorophenyl)-5-(2-oxo-2-phenylethyl)-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5b')**



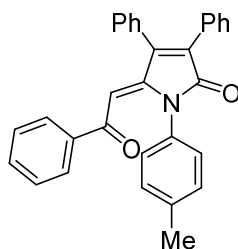
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 57 mg (56%); mp = 152–153 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$ –7.51 (m, 3H), 7.47–7.45 (m, 3H), 7.31–7.28 (m, 3H), 7.24–7.20 (m, 4H), 7.18–7.12 (m, 4H), 5.99 (t,  $J = 5.1$  Hz, 1H), 3.19–3.12 (m, 2H), 2.29 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 196.6, 167.5, 152.1, 136.3, 135.4, 134.8, 134.3, 133.7, 133.4, 133.4, 132.4, 132.2, 130.2, 129.8, 129.8, 129.7, 129.6, 129.5, 128.7, 128.6, 128.4, 128.4, 127.9, 127.8, 127.8, 127.1, 123.0, 123.0, 58.9, 39.3, 20.9$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{23}\text{Cl}_2\text{NNaO}_2$  [(M+Na) $^+$ ], 534.0998, found, 534.1008.

**3,4-Bis(4-bromophenyl)-5-(2-oxo-2-phenylethyl)-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (5c')**



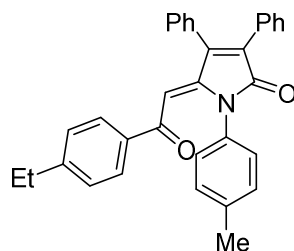
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ; Yellow solid: 46 mg (38%); mp = 161–162 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.54$  (d,  $J = 7.8$  Hz, 2H), 7.46–7.44 (m, 4H), 7.37 (d,  $J = 8.2$  Hz, 2H), 7.34–7.26 (m, 5H), 7.16–7.12 (m, 4H), 6.01 (t,  $J = 5.0$  Hz, 1H), 3.14 (t,  $J = 4.6$  Hz, 2H), 2.28 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 196.8$ , 167.7, 151.9, 136.2, 135.4, 133.7, 133.4, 132.2, 132.2, 131.8, 131.6, 131.6, 131.4, 131.4, 130.5, 130.4, 130.4, 129.8, 129.8, 129.7, 128.4, 128.4, 127.8, 127.8, 123.9, 122.9, 122.7, 122.7, 58.6, 39.6, 20.9; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{31}\text{H}_{23}\text{Br}_2\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 621.9988, found, 621.9985.

**(Z)-5-(2-Oxo-2-phenylethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7a)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E > 20/1$ , Yellow solid: 39 mg (44%); mp = 212–213 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$  (d,  $J = 7.8$  Hz, 2H), 7.48–7.44 (m, 6H), 7.43–7.40 (m, 2H), 7.33–7.30 (m, 2H), 7.27–7.26 (m, 3H), 6.94 (s, 4H), 6.00 (s, 1H), 2.26 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 192.2$ , 169.4, 146.6, 145.2, 137.8, 137.5, 133.2, 133.1, 131.4, 130.8, 130.1, 130.0, 130.0, 129.9, 129.9, 129.6, 129.6, 129.3, 129.1, 129.1, 128.8, 128.6, 128.6, 128.3, 128.3, 128.3, 128.3, 127.3, 127.3, 109.1, 21.3; HRMS (TOF ES<sup>+</sup>): m/z calcd for  $\text{C}_{31}\text{H}_{23}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 464.1621, found, 464.1623.

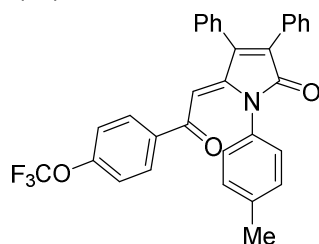
**(Z)-5-(2-(4-Ethylphenyl)-2-oxoethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7b)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 5/1$ , Yellow solid: 40 mg (43%); mp = 210–211 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.46$ –7.44 (m, 6H), 7.41–7.40 (m, 2H), 7.37 (s, 1H), 7.27–7.26 (m, 3H), 7.13 (d,  $J = 7.8$  Hz, 2H), 6.95 (s, 4H), 6.00 (s, 1H),

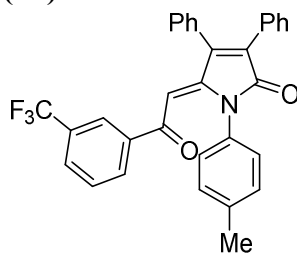
2.66 (q,  $J = 7.8$  Hz, 2H), 2.26 (s, 3H), 1.24 (t,  $J = 7.7$  Hz, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 191.6, 169.3, 150.1, 146.2, 145.1, 137.2, 135.5, 133.1, 131.3, 130.5, 130.4, 129.8, 129.8, 129.8, 129.8, 129.4, 129.4, 129.1, 128.9, 128.9, 128.7, 128.7, 128.6, 128.1, 128.1, 127.7, 127.7, 127.2, 127.2, 109.3, 29.0, 21.1, 15.4$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{33}\text{H}_{27}\text{NNaO}_2$  [(M $^+$  Na) $^+$ ], 492.1934, found, 492.1942.

**(Z)-5-(2-Oxo-2-(4-(trifluoromethoxy)phenyl)ethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7c)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ;  $Z/E = 4/1$ , Yellow solid: 39 mg (37%); mp = 207–208 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$  (d,  $J = 8.2$  Hz, 2H), 7.48–7.47 (m, 2H), 7.45–7.43 (m, 2H), 7.41–7.40 (m, 2H), 7.37 (s, 1H), 7.29–7.27 (s, 3H), 7.12 (d,  $J = 8.3$  Hz, 2H), 6.95 (d,  $J = 7.8$  Hz, 2H), 6.89 (d,  $J = 8.0$  Hz, 2H), 5.92 (s, 1H), 2.27 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 190.9, 169.1, 152.3, 146.8, 145.0, 137.6, 136.0, 133.0, 131.1, 130.8, 130.5, 130.4, 130.4, 129.8, 129.8, 129.8, 129.8, 129.5, 129.5, 129.3, 129.0, 129.0, 128.8, 128.4, 128.4, 128.2, 128.2, 127.2, 127.2, 120.1, 108.1, 21.1$ ;  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ )  $\delta = -57.63$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{32}\text{H}_{22}\text{F}_3\text{NNaO}_3$  [(M $^+$  Na) $^+$ ], 548.1444, found, 548.1453.

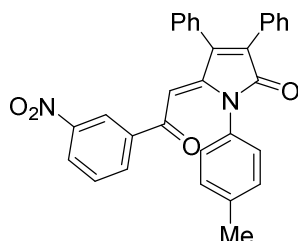
**(Z)-5-(2-Oxo-2-(3-(trifluoromethyl)phenyl)ethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7d)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ;  $Z/E = 4/1$ , Yellow solid: 23 mg (23%); mp = 241–242 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.73$ –7.69 (m, 2H), 7.60 (s, 1H), 7.49–7.47 (m, 3H), 7.46–7.44 (m, 2H), 7.43–7.41 (m, 2H), 7.38 (s, 1H), 7.28–7.26 (m, 3H), 6.92 (d,  $J = 7.7$  Hz, 2H), 6.85 (d,  $J = 7.9$  Hz, 2H), 5.91 (s, 1H), 2.25 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 191.5, 169.1, 147.1, 144.9, 138.3, 137.7, 132.9, 131.8, 131.0, 130.8, 130.8$  (d,  $J = 33.0$  Hz), 130.5, 129.9, 129.9, 129.8, 129.8, 129.5, 129.5, 129.3, 129.1, 129.1, 128.9, 128.7, 128.4 (d,  $J = 3.0$  Hz), 128.2, 128.2, 127.2, 127.2, 124.6 (q,  $J = 3.0$  Hz), 123.6 (d,  $J = 271.5$  Hz), 107.7, 20.9;  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ )  $\delta = -62.84$ ; HRMS (TOF ES $^+$ ):  $m/z$  calcd for  $\text{C}_{32}\text{H}_{22}\text{F}_3\text{NNaO}_2$  [(M $^+$  Na) $^+$ ], 532.1495, found, 532.1501.

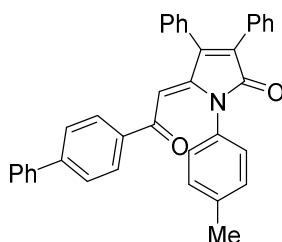


**(Z)-5-(2-(3-Nitrophenyl)-2-oxoethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7e)**



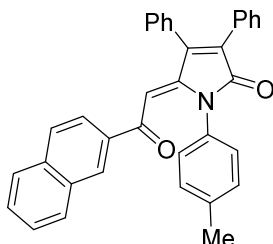
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.2$ ;  $Z/E = 2/1$ , Yellow solid: 41 mg (42%); mp = 203–204 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 8.31\text{--}8.26$  (m, 1H), 8.19 (s, 1H), 7.83–7.80 (m, 1H), 7.50–7.48 (m, 3H), 7.46–7.43 (m, 3H), 7.39 (s, 1H), 7.28–7.26 (m, 3H), 7.02 (t,  $J = 7.5$  Hz, 1H), 6.93 (d,  $J = 8.6$  Hz, 2H), 6.87 (d,  $J = 7.9$  Hz, 2H), 5.93 (s, 1H), 2.25 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 190.4$ , 169.1, 148.0, 147.8, 145.0, 139.3, 138.0, 133.8, 133.0, 131.0, 130.5, 129.9, 129.9, 129.7, 129.7, 129.6, 129.6, 129.4, 129.2, 129.1, 129.1, 128.4, 128.2, 128.2, 128.1, 127.2, 127.2, 126.8, 122.8, 106.9, 21.0; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{31}\text{H}_{22}\text{N}_2\text{NaO}_4$  [(M+ Na)<sup>+</sup>], 509.1472, found, 509.1475.

**(Z)-5-(2-([1,1'-Biphenyl]-4-yl)-2-oxoethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7f)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 7/1$ , Yellow solid: 37 mg (36%); mp = 245–246 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.60\text{--}7.58$  (m, 4H), 7.53 (d,  $J = 8.0$  Hz, 2H), 7.49–7.46 (m, 6H), 7.44–7.39 (m, 4H), 7.27–7.26 (m, 3H), 6.96 (s, 4H), 6.04 (s, 1H), 2.27 (s, 3H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 191.6$ , 169.3, 146.5, 145.7, 145.1, 139.9, 137.4, 136.4, 133.1, 131.3, 130.6, 130.4, 129.9, 129.9, 129.8, 129.8, 129.4, 129.4, 129.2, 129.1, 129.0, 129.0, 129.0, 129.0, 129.0, 129.0, 128.7, 128.3, 128.2, 128.2, 127.2, 127.2, 126.8, 126.8, 109.0, 21.2; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{37}\text{H}_{27}\text{NNaO}_2$  [(M+ Na)<sup>+</sup>], 540.1934, found, 540.1942.

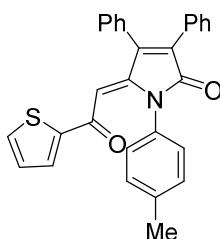
**(Z)-5-(2-(Naphthalen-2-yl)-2-oxoethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7g)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 6/1$  Yellow solid: 32 mg (33%); mp = 240–241 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 8.05$  (s, 1H), 7.88 (d,  $J = 8.2$  Hz, 1H),

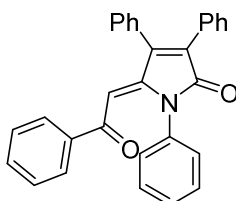
7.83 (d,  $J = 8.2$  Hz, 1H), 7.73 (d,  $J = 8.6$  Hz, 1H), 7.60–7.53 (m, 3H), 7.49–7.44 (m, 6H), 7.28–7.26 (m, 4H), 6.91 (d,  $J = 6.5$  Hz, 2H), 6.82 (d,  $J = 7.8$  Hz, 2H), 6.12 (s, 1H), 2.18 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 192.3, 169.4, 146.6, 145.2, 137.6, 135.6, 135.2, 133.2, 132.4, 131.4, 130.8, 130.5, 130.0, 130.0, 130.0, 130.0, 129.6, 129.5, 129.3, 129.1, 129.1, 128.8, 128.7, 128.3, 128.3, 128.1, 127.9, 127.3, 127.3, 126.8, 124.1, 109.3, 21.2$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{35}\text{H}_{25}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 514.1778, found, 514.1787.

**(Z)-5-(2-Oxo-2-(thiophen-2-yl)ethylidene)-3,4-diphenyl-1-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (7h)**



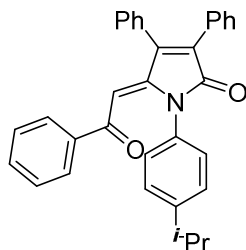
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 9/1$ , Yellow solid: 41 mg (46%); mp = 233–234 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.56$  (d,  $J = 4.9$  Hz, 1H), 7.47–7.46 (m, 3H), 7.45–7.42 (m, 3H), 7.40–7.38 (m, 2H), 7.27–7.26 (s, 3H), 7.07–7.02 (m, 5H), 6.02 (s, 1H), 2.31 (s, 3H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 183.2, 169.3, 146.6, 145.2, 145.1, 137.4, 134.2, 133.1, 132.7, 131.1, 130.7, 130.3, 129.8, 129.8, 129.7, 129.7, 129.4, 129.4, 129.2, 128.9, 128.9, 128.7, 128.1, 128.1, 127.7, 127.0, 127.0, 108.0, 21.2$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{29}\text{H}_{21}\text{NNaO}_2\text{S}$  [(M+Na)<sup>+</sup>], 470.1185, found, 470.1193.

**(Z)-5-(2-Oxo-2-phenylethylidene)-1,3,4-triphenyl-1,5-dihydro-2H-pyrrol-2-one (7i)**



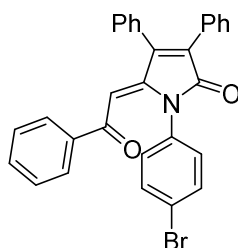
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E > 20/1$ , Yellow solid: 32 mg (38%); mp = 221–222 °C;  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.53$  (d,  $J = 7.7$  Hz, 2H), 7.49–7.44 (m, 6H), 7.43–7.41 (m, 2H), 7.31 (t,  $J = 7.7$  Hz, 2H), 7.28–7.26 (s, 3H), 7.18–7.17 (d,  $J = 5.4$  Hz, 3H), 7.10–7.06 (m, 2H), 6.04 (s, 1H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta = 191.8, 169.1, 146.4, 145.2, 137.5, 135.8, 133.0, 131.1, 130.6, 129.8, 129.8, 129.8, 129.7, 129.7, 129.2, 128.9, 128.9, 128.7, 128.7, 128.7, 128.4, 128.4, 128.3, 128.3, 128.1, 128.1, 127.4, 127.3, 127.3, 108.9$ ; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{30}\text{H}_{21}\text{NNaO}_2$  [(M+Na)<sup>+</sup>], 450.1465, found, 450.1474.

**(Z)-1-(4-Isopropylphenyl)-5-(2-oxo-2-phenylethylidene)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (7j)**



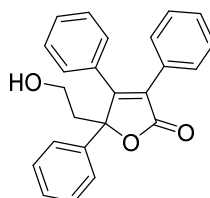
$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 10/1$ , Yellow solid: 38 mg (41%); mp = 219–220 °C;  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta = 7.47\text{--}7.44$  (m, 7H), 7.44–7.39 (m, 3H), 7.29–7.27 (m, 5H), 6.95 (d,  $J = 8.0$  Hz, 2H), 6.90 (d,  $J = 8.0$  Hz, 2H), 5.94 (s, 1H), 2.82–2.78 (m, 1H), 1.18 (d,  $J = 6.9$  Hz, 6H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{CDCl}_3$ )  $\delta = 192.8$ , 169.1, 148.1, 146.0, 144.9, 137.6, 133.1, 132.9, 131.3, 130.5, 130.0, 129.8, 129.8, 129.8, 129.8, 129.2, 129.0, 129.0, 128.7, 128.4, 128.4, 128.2, 128.2, 128.1, 128.1, 127.5, 127.5, 126.8, 126.8, 109.3, 33.7, 23.9, 23.9; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{33}\text{H}_{27}\text{NNaO}_2$  [(M+ Na)<sup>+</sup>], 492.1934, found, 492.1942.

**(Z)-1-(4-Bromophenyl)-5-(2-oxo-2-phenylethylidene)-3,4-diphenyl-1,5-dihydro-2H-pyrrol-2-one (7k)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.3$ ;  $Z/E = 5/1$ , Yellow solid: 40 mg (40%); mp > 250 °C;  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ )  $\delta = 7.54$  (dd,  $J = 8.2$ , 1.4 Hz, 2H), 7.49–7.47 (m, 3H), 7.44–7.43 (m, 2H), 7.41–7.39 (m, 2H), 7.37–7.33 (m, 2H), 7.29–7.27 (m, 6H), 6.95 (d,  $J = 8.6$  Hz, 2H), 6.06 (s, 1H);  $^{13}\text{C NMR}$  (125 MHz,  $\text{CDCl}_3$ )  $\delta = 191.7$ , 169.0, 146.2, 145.5, 137.6, 134.9, 133.3, 133.0, 131.9, 131.9, 131.1, 130.7, 129.8, 129.8, 129.7, 129.7, 129.4, 129.1, 129.1, 128.9, 128.9, 128.9, 128.4, 128.4, 128.4, 128.4, 128.2, 128.2, 121.4, 109.0; HRMS (TOF ES<sup>+</sup>):  $m/z$  calcd for  $\text{C}_{30}\text{H}_{20}\text{BrNNaO}_2$  [(M+ Na)<sup>+</sup>], 528.0570, found, 528.0579.

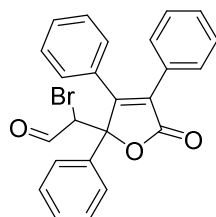
**5-(2-Hydroxyethyl)-3,4,5-triphenylfuran-2(5H)-one (8)**



$V_{\text{Petroleum ether}}/V_{\text{Ethyl acetate}} = 4:1$ ,  $R_f = 0.1$ ; Yellow oil: 53 mg (75%);  $^1\text{H NMR}$  (600 MHz,  $\text{DMSO-}d_6$ )  $\delta = 7.43\text{--}7.39$  (m, 3H), 7.36–7.30 (m, 8H), 7.29–7.25 (m, 2H), 6.84 (d,  $J = 7.7$  Hz, 2H), 4.79–4.76 (m, 1H), 3.61–3.52 (m, 2H), 2.60–2.52 (m, 2H);  $^{13}\text{C NMR}$  (150 MHz,  $\text{DMSO-}d_6$ )  $\delta = 171.7$ , 164.8, 137.7, 131.7, 130.1, 129.9, 129.6, 129.6, 129.3, 129.3, 129.2, 129.1, 129.0, 129.0, 128.7, 128.7, 128.7, 128.7, 126.3, 126.2, 126.2, 88.9,

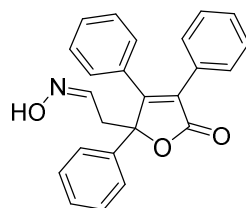
56.6, 37.5; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>24</sub>H<sub>20</sub>NaO<sub>3</sub> [(M+Na)<sup>+</sup>], 379.1305, found, 379.1306.

**2-Bromo-2-(5-oxo-2,3,4-triphenyl-2,5-dihydrofuran-2-yl)acetaldehyde (9)**



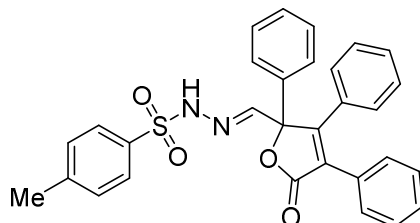
V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 5:1, R<sub>f</sub> = 0.3; White solid: 46 mg (53%); mp = 137–138 °C; d/r = 5:1; <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) δ = 9.40 (s, 1H), 7.40–7.34 (m, 6H), 7.31–7.27 (m, 7H), 6.87 (d, *J* = 7.6 Hz, 2H), 6.08 (s, 1H); <sup>13</sup>C NMR (150 MHz, DMSO-*d*<sub>6</sub>) δ = 191.0, 170.6, 162.5, 133.8, 130.4, 130.3, 129.8, 129.6, 129.5, 129.4, 129.4, 129.4, 129.4, 129.4, 129.1, 128.8, 128.8, 128.6, 128.6, 126.5, 126.5, 88.4, 57.9; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>24</sub>H<sub>17</sub>BrNaO<sub>3</sub> [(M+Na)<sup>+</sup>], 455.0253, found, 455.0252.

**2-(5-Oxo-2,3,4-triphenyl-2,5-dihydrofuran-2-yl)acetaldehyde oxime (10)**



V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 3:1, R<sub>f</sub> = 0.2; Yellow oil: 60 mg (81%); <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) δ = 10.98 (s, 1H), 7.44–7.42 (m, 3H), 7.36–7.33 (m, 3H), 7.32–7.29 (m, 8H), 6.83 (d, *J* = 7.6 Hz, 2H), 3.32 (dd, *J* = 14.9, 5.9 Hz, 1H), 3.26 (dd, *J* = 14.9, 6.6 Hz, 1H); <sup>13</sup>C NMR (150 MHz, DMSO-*d*<sub>6</sub>) δ = 171.3, 164.2, 144.1, 136.8, 131.4, 130.0, 129.8, 129.5, 129.5, 129.5, 129.4, 129.4, 129.2, 129.1, 129.1, 128.8, 128.8, 128.6, 128.6, 127.3, 126.5, 126.5, 88.9, 35.1; HRMS (TOF ES<sup>+</sup>): m/z calcd for C<sub>24</sub>H<sub>19</sub>NNaO<sub>3</sub> [(M+Na)<sup>+</sup>], 392.1257, found, 392.1262.

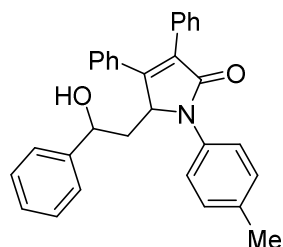
**4-Methyl-*N'*-(2-(5-oxo-2,3,4-triphenyl-2,5-dihydrofuran-2-yl)ethylidene)benzenesulfonylhydrazide (11)**



V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 2:1, R<sub>f</sub> = 0.2; Yellow oil: 90 mg (86%); <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) δ = 11.39 (s, 1H), 7.65 (d, *J* = 8.0 Hz, 2H), 7.38–7.28 (m, 7H), 7.28–7.23 (m, 5H), 7.18 (d, *J* = 7.4 Hz, 2H), 7.14 (t, *J* = 7.7 Hz, 2H), 6.49 (d, *J* = 7.7 Hz, 2H), 3.32 (dd, *J* = 15.0, 4.5 Hz, 1H), 3.18 (dd, *J* = 15.0, 6.9 Hz, 1H), 2.26 (s, 3H); <sup>13</sup>C NMR

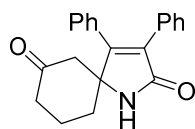
(150 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  = 171.1, 163.9, 145.7, 143.7, 136.7, 136.6, 131.0, 130.2, 130.2, 129.7, 129.7, 129.6, 129.6, 129.6, 129.4, 129.3, 129.2, 129.2, 128.9, 128.9, 128.6, 128.6, 128.6, 128.4, 128.4, 127.5, 127.5, 127.3, 126.3, 126.3, 88.8, 37.5, 21.4; HRMS (TOF ES<sup>+</sup>): *m/z* calcd for C<sub>31</sub>H<sub>26</sub>N<sub>2</sub>NaO<sub>4</sub>S [(M+Na)<sup>+</sup>], 545.1505, found, 545.1512.

**5-(2-Hydroxy-2-phenylethyl)-3,4-diphenyl-1-(*p*-tolyl)-1,5-dihydro-2*H*-pyrrol-2-one (12)**



V<sub>Petroleum ether</sub>/V<sub>Ethyl acetate</sub> = 4:1, R<sub>f</sub> = 0.1; White solid: 74 mg (83%); mp > 250 °C; d/r > 20:1; <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.44 (d, *J* = 8.0 Hz, 2H), 7.42–7.40 (m, 2H), 7.39–7.37 (m, 3H), 7.36–7.34 (m, 2H), 7.31–7.28 (m, 3H), 7.24–7.20 (m, 5H), 6.93–6.89 (m, 2H), 5.43–5.41 (m, 1H), 4.33–4.31 (m, 1H), 2.37 (s, 3H), 2.23–2.18 (m, 1H), 2.07–2.03 (m, 1H); <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>)  $\delta$  = 168.4, 153.1, 143.6, 134.7, 134.3, 133.3, 132.5, 131.2, 129.8, 129.8, 129.6, 129.6, 129.1, 128.8, 128.8, 128.8, 128.8, 128.5, 128.5, 128.1, 128.1, 128.0, 127.8, 125.6, 125.6, 122.7, 122.7, 70.2, 59.7, 39.4, 21.0; HRMS (TOF ES<sup>+</sup>): *m/z* calcd for C<sub>31</sub>H<sub>27</sub>NNaO<sub>2</sub> [(M+Na)<sup>+</sup>], 468.1934, found, 468.1943.

Failed examples:



## 5. X-ray Structure and Data.

### 5.1 X-ray Structure and Data<sup>5</sup> of 3v (CCDC 2377854).

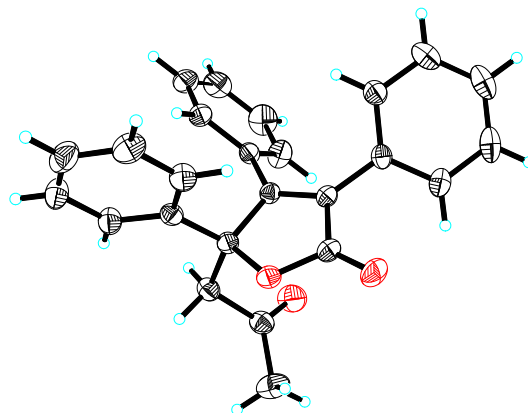


Figure S2 X-Ray crystal structure of 3v.

Table S3 Crystal data and structure refinement for 3v.

Empirical formula	C <sub>25</sub> H <sub>20</sub> O <sub>3</sub>	
Formula weight	368.41	
Temperature	300.00 K	
Crystal system, space group	Monoclinic, P2 <sub>1</sub> /c	
Unit cell dimensions	a = 10.2274(4) Å	alpha = 90 deg.
	b = 13.5230(5) Å	beta = 90.829(2) deg.
	c = 14.2522(7) Å	gamma = 90 deg.
Volume	1970.95(14) Å <sup>3</sup>	
Z, Calculated density	4, 1.242 Mg/m <sup>3</sup>	
Absorption coefficient	0.081 mm <sup>-1</sup>	
F(000)	776.0	
Theta range for data collection	3.982 to 56.604 deg.	
Limiting indices	-13 ≤ h ≤ 13, -18 ≤ k ≤ 18, -18 ≤ l ≤ 19	
Reflections collected / unique	53825	
Data/restraints/parameters	4901 / 0 / 254	
Goodness-of-fit on F <sup>2</sup>	1.056	
Final R indices [I > 2σ(I)]	R1 = 0.0438, wR2 = 0.1161	
R indices (all data)	R1 = 0.0612, wR2 = 0.1303	
Largest diff. peak and hole	0.18 and -0.20 e.Å <sup>-3</sup>	

## 5.2 X-ray Structure and Data<sup>6</sup> of 5b (CCDC 2391288).

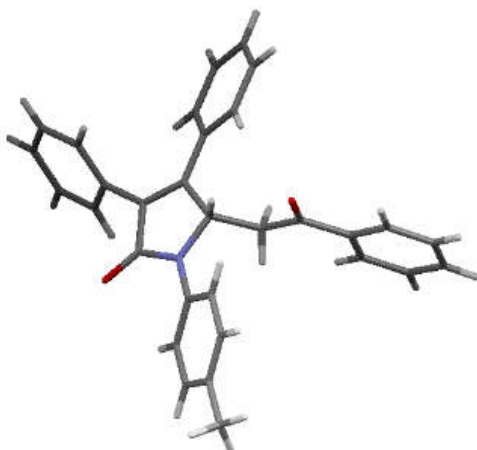
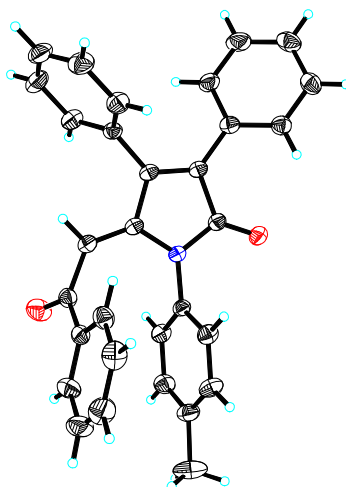


Figure S3 X-Ray crystal structure of **5b**.

Table S4 Crystal data and structure refinement for **5b**.

Empirical formula	C <sub>31</sub> H <sub>25</sub> NO <sub>2</sub>
Formula weight	443.52
Temperature	296.15 K
Crystal system, space group	Monoclinic, C2/c
Unit cell dimensions	a = 38.980(3) Å      alpha = 90 deg. b = 5.8496(5) Å      beta = 118.940(3) deg. c = 25.600(3) Å      gamma = 90 deg.
Volume	5108.3(8) Å <sup>3</sup>
Z, Calculated density	8, 1.153 Mg/m <sup>3</sup>
Absorption coefficient	0.072 mm <sup>-1</sup>
F(000)	1872.0
Theta range for data collection	2.388 to 54.994 deg.
Limiting indices	-50 ≤ h ≤ 40, -7 ≤ k ≤ 7, -28 ≤ l ≤ 33
Reflections collected / unique	14699
Data/restraints/parameters	5794 / 0 / 308
Goodness-of-fit on F <sup>2</sup>	0.963
Final R indices [I > 2σ(I)]	R1 = 0.0488, wR2 = 0.1145
R indices (all data)	R1 = 0.0827, wR2 = 0.1328
Largest diff. peak and hole	0.22 and -0.24 e.Å <sup>-3</sup>

### 5.3 X-ray Structure and Data<sup>7</sup> of 7a (CCDC 2391289).



**Figure S4** X-Ray crystal structure of **7a**.

**Table S5** Crystal data and structure refinement for **7a**.

Empirical formula	C <sub>31</sub> H <sub>23</sub> NO <sub>2</sub>
Formula weight	441.50
Temperature	303.00 K
Crystal system, space group	Orthorhombic, Pca2 <sub>1</sub>
Unit cell dimensions	a = 26.0297(16) Å      alpha = 90 deg. b = 5.9625(3) Å      beta = 90 deg. c = 15.3428(9) Å      gamma = 90 deg.
Volume	2381.2(2) Å <sup>3</sup>
Z, Calculated density	4, 1.232 Mg/m <sup>3</sup>
Absorption coefficient	0.077 mm <sup>-1</sup>
F(000)	928.0
Theta range for data collection	5.31 to 56.574 deg.
Limiting indices	-34 ≤ h ≤ 34, -7 ≤ k ≤ 7, -20 ≤ l ≤ 20
Reflections collected / unique	53825
Data/restraints/parameters	5846 / 1 / 309
Goodness-of-fit on F <sup>2</sup>	1.093
Final R indices [I > 2σ(I)]	R1 = 0.0451, wR2 = 0.0929
R indices (all data)	R1 = 0.0656, wR2 = 0.1099
Largest diff. peak and hole	0.12 and -0.18 e.Å <sup>-3</sup>



**6.  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra for spectroscopic data.**

ZZL-137.1.fid

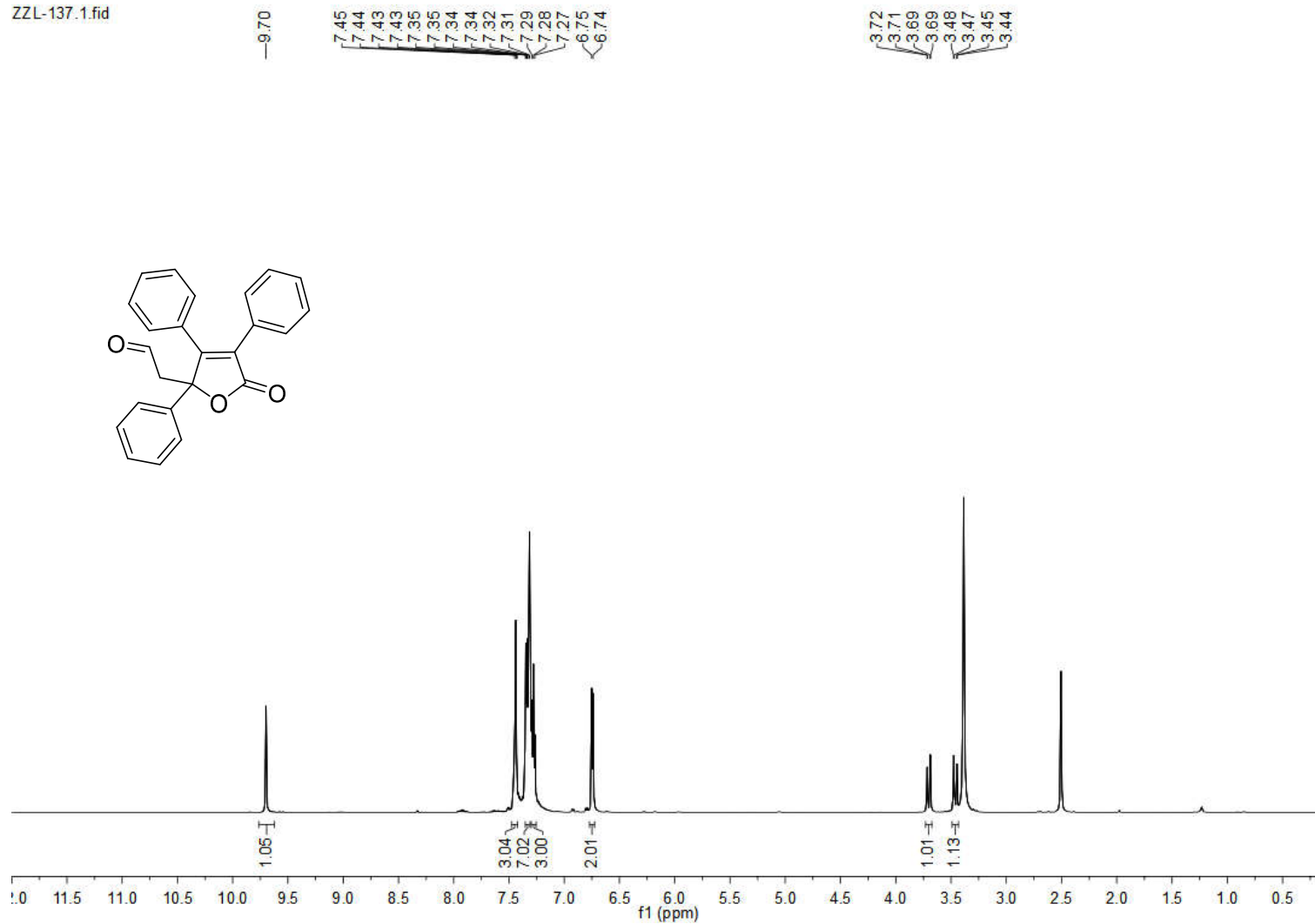


Figure S5. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3a

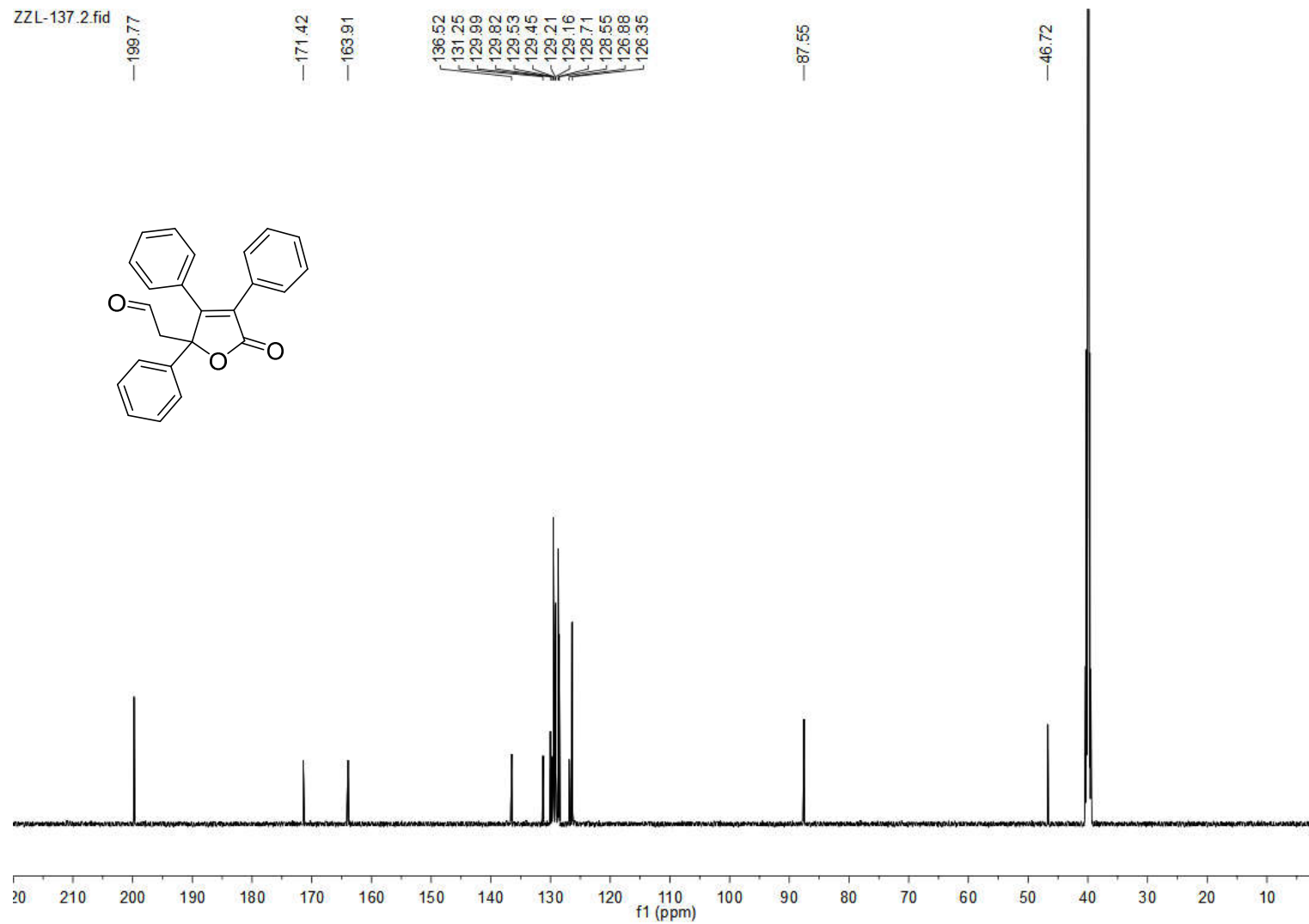


Figure S6.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3a

ZZL-152.1.fid

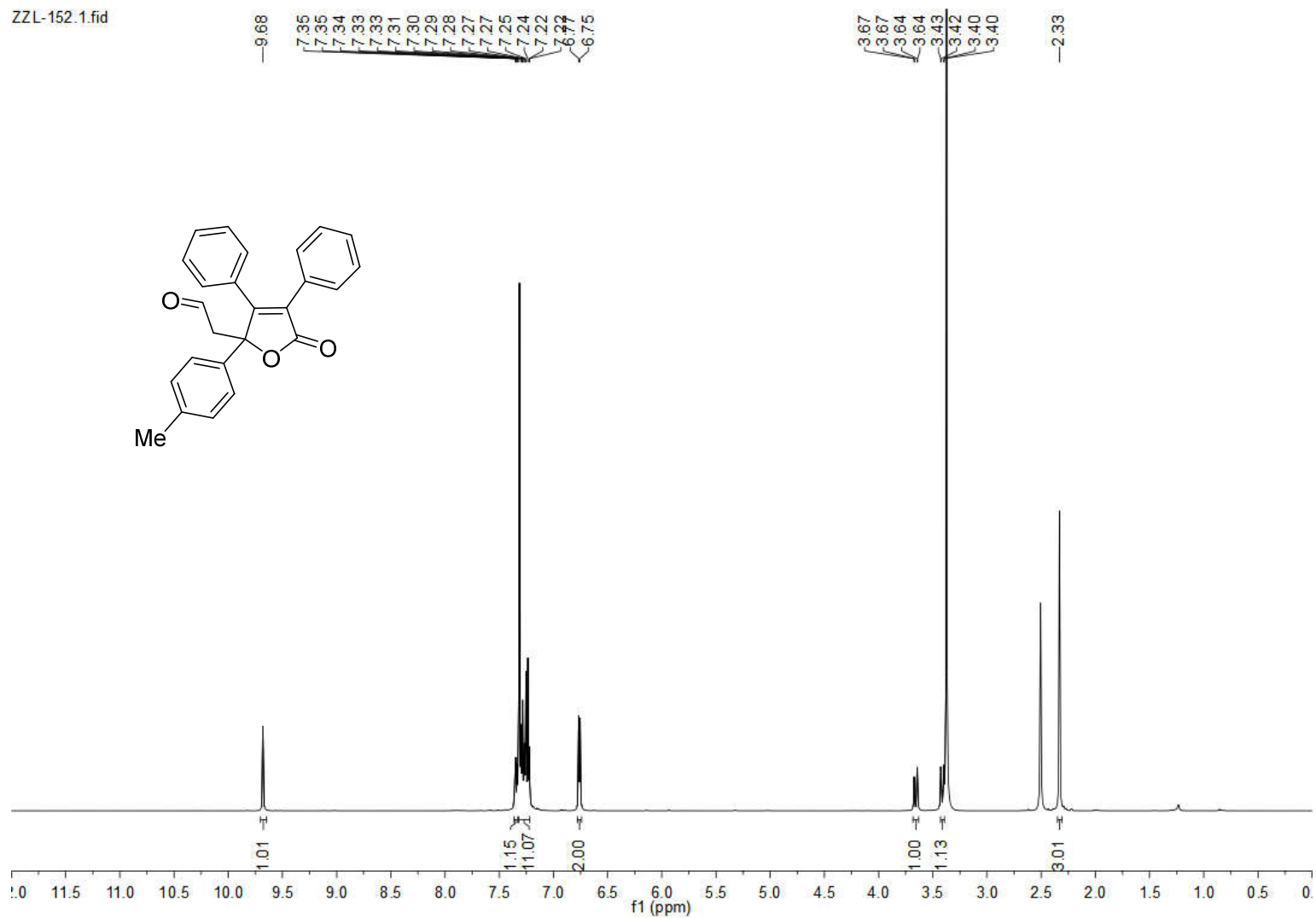
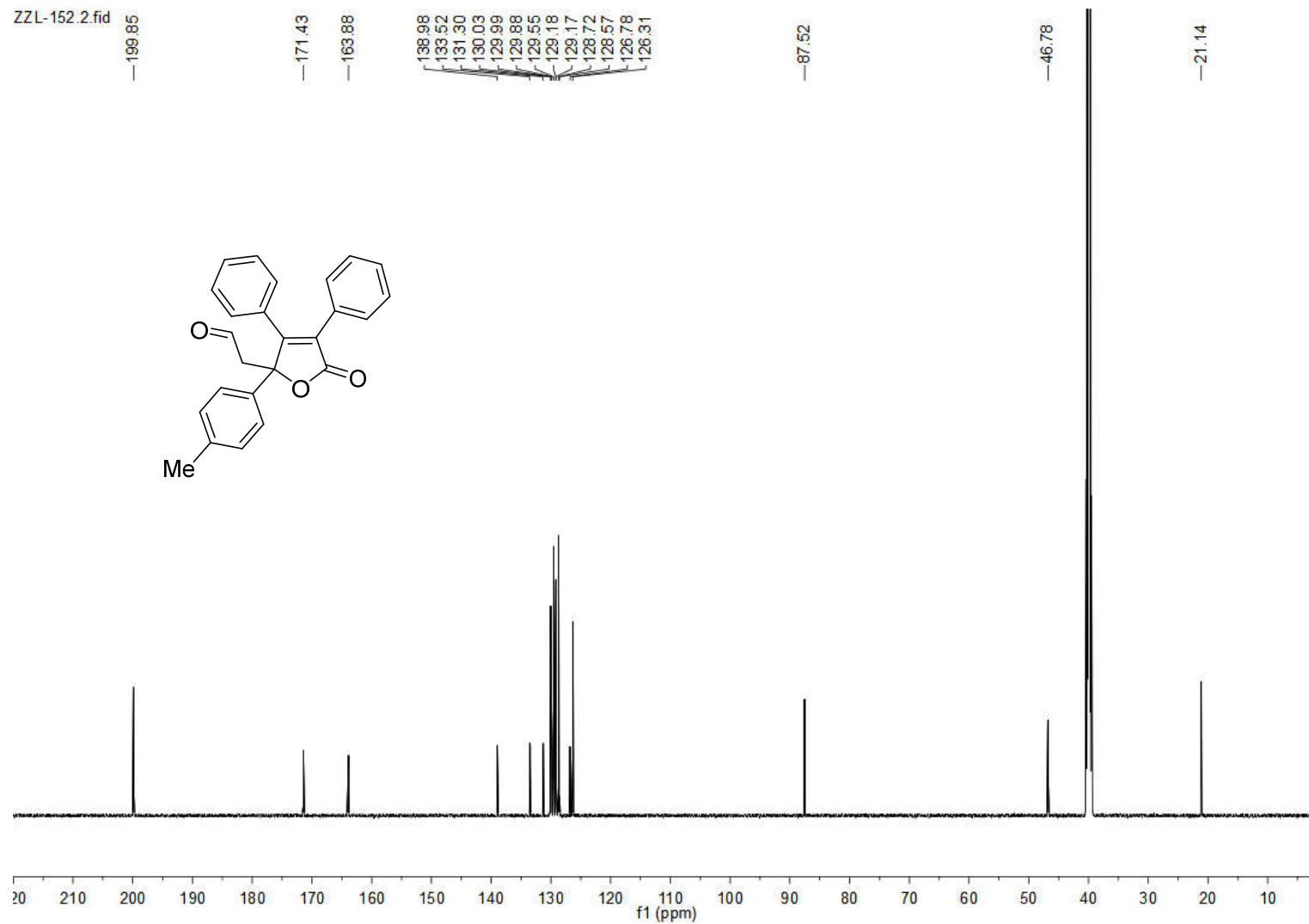


Figure S7. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound **3b**



**Figure S8.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3b**

ZZL-165.1.fid

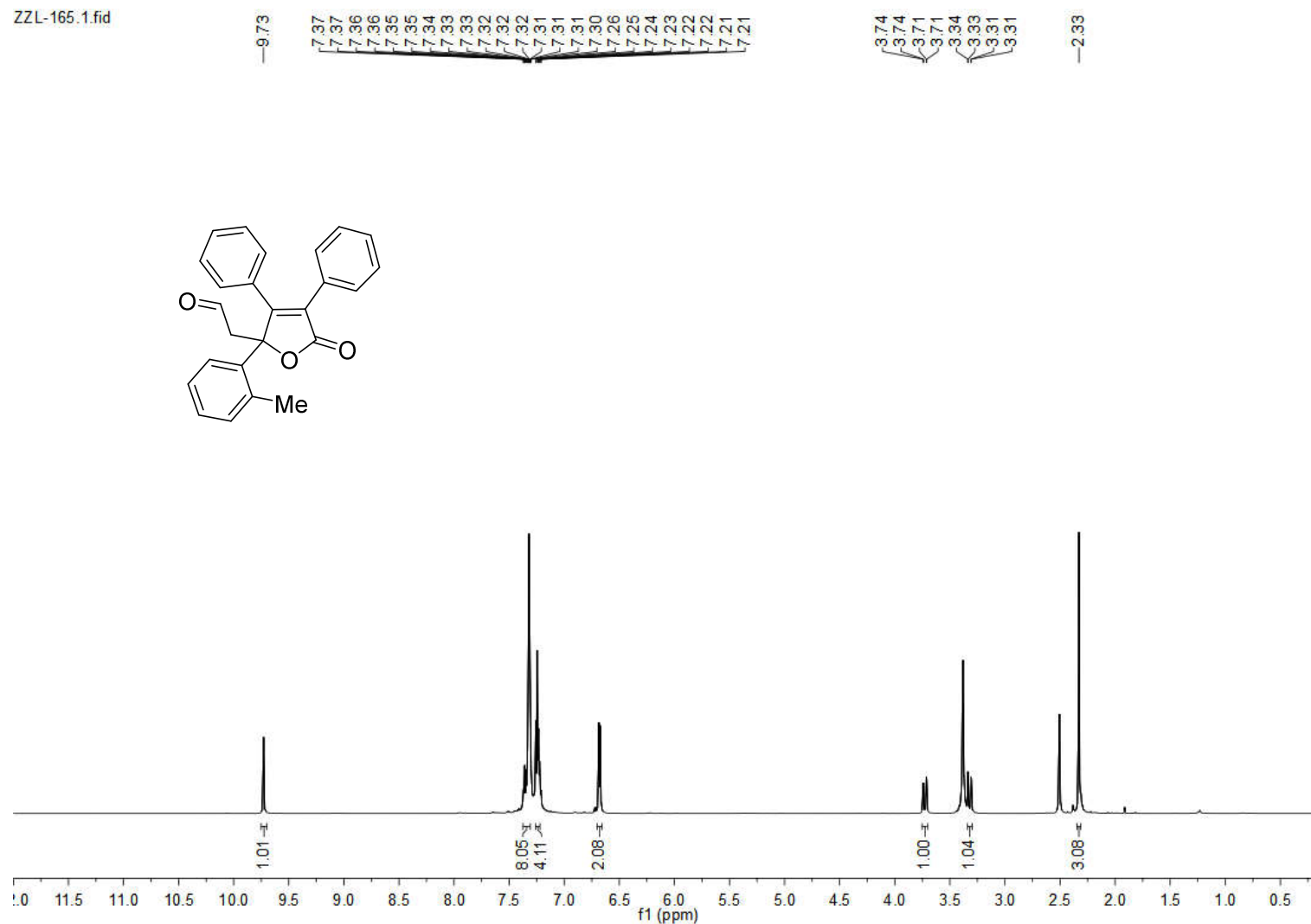


Figure S9. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3c

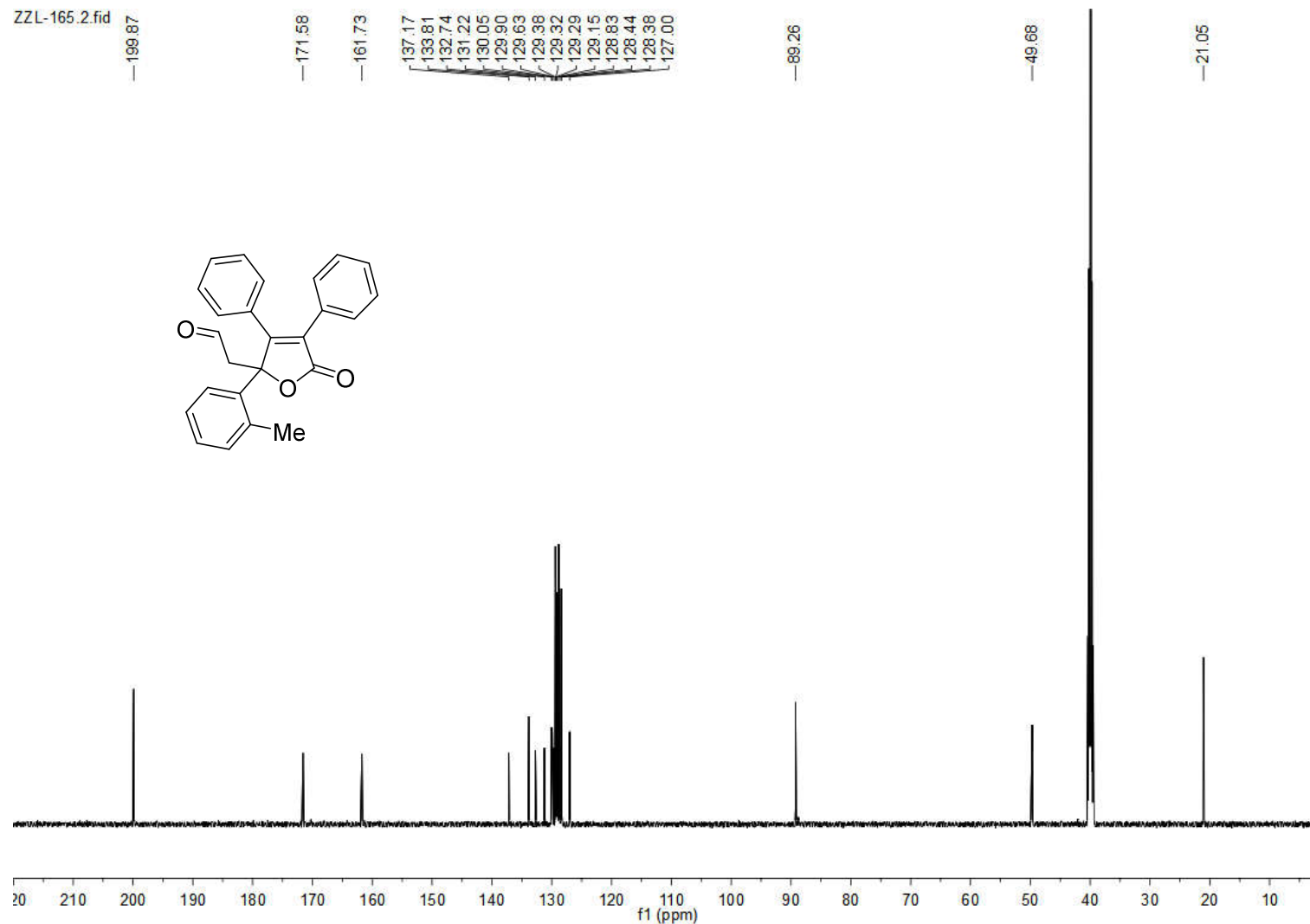


Figure S10.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3c

ZZL-163.1.fid

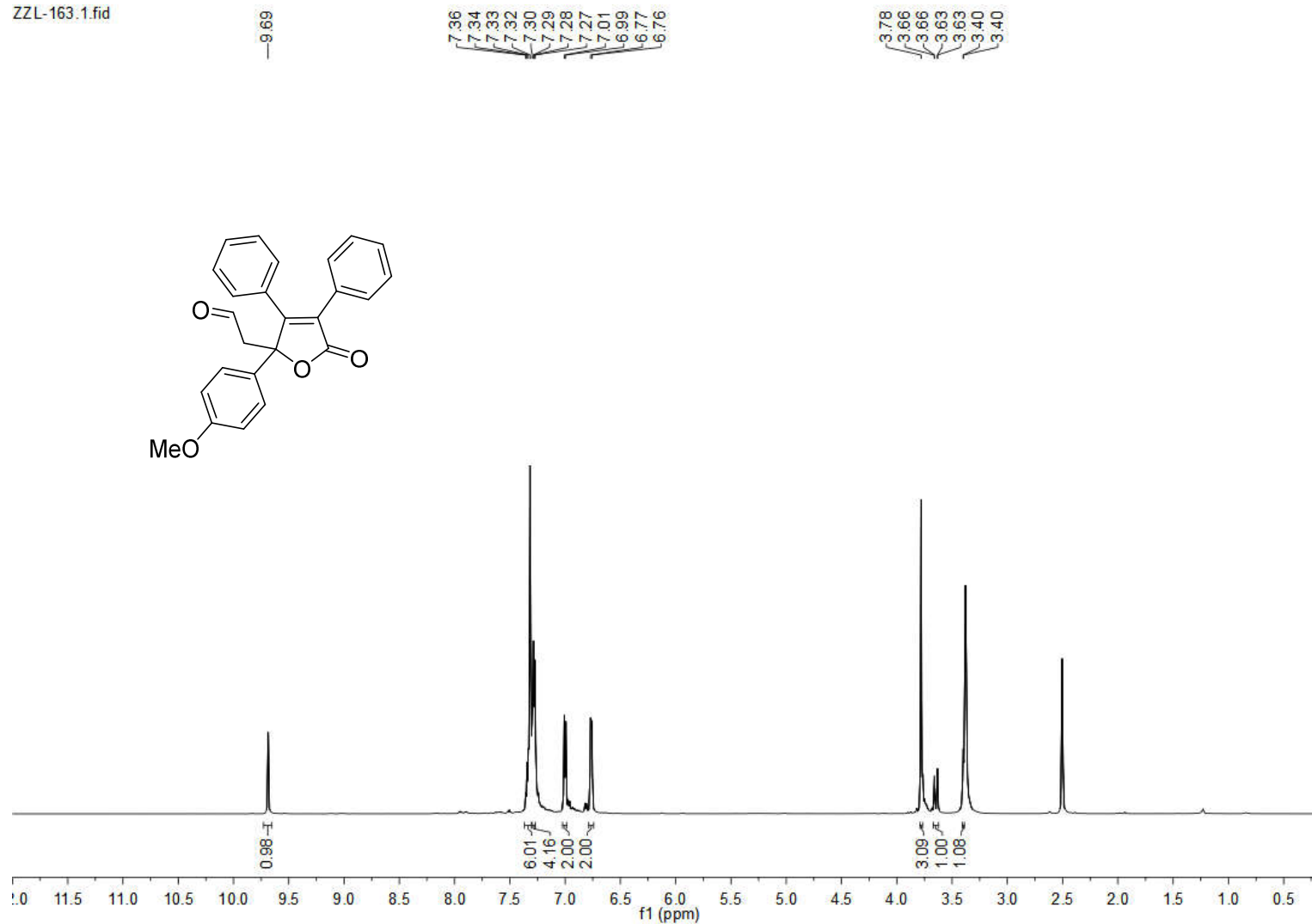
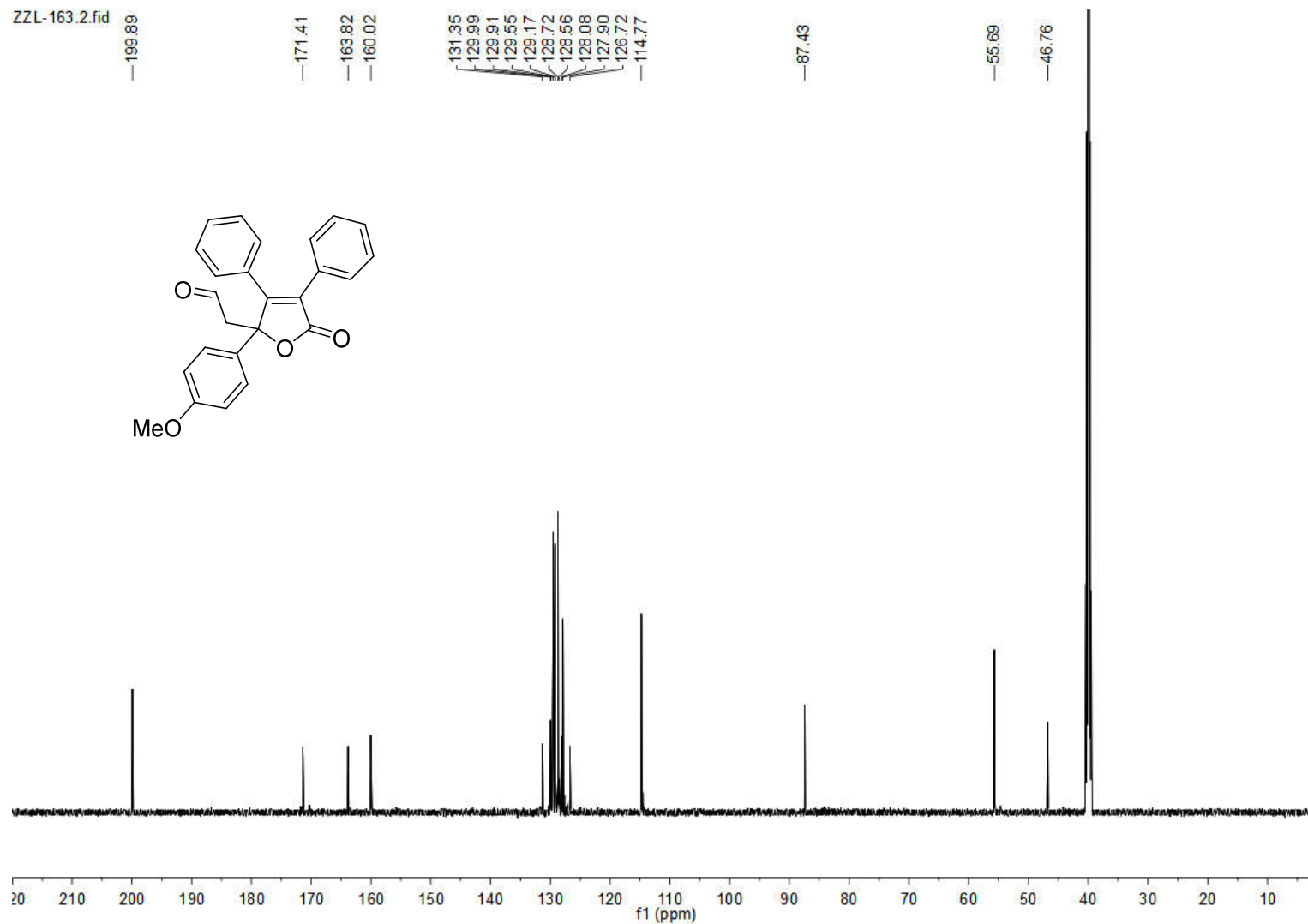


Figure S11. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3d





**Figure S12.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3d**

ZZL-160.1.fid

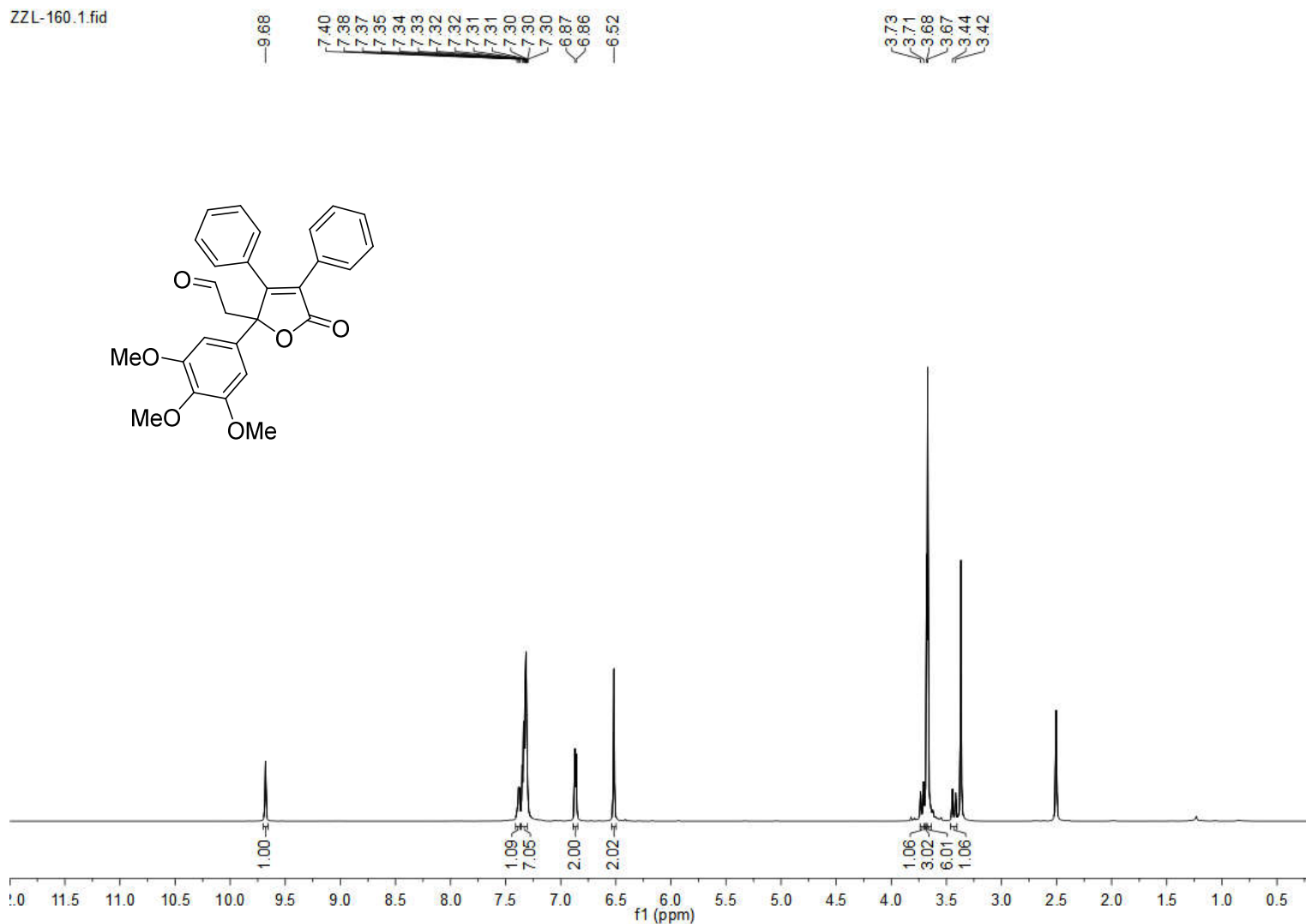


Figure S13. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3e

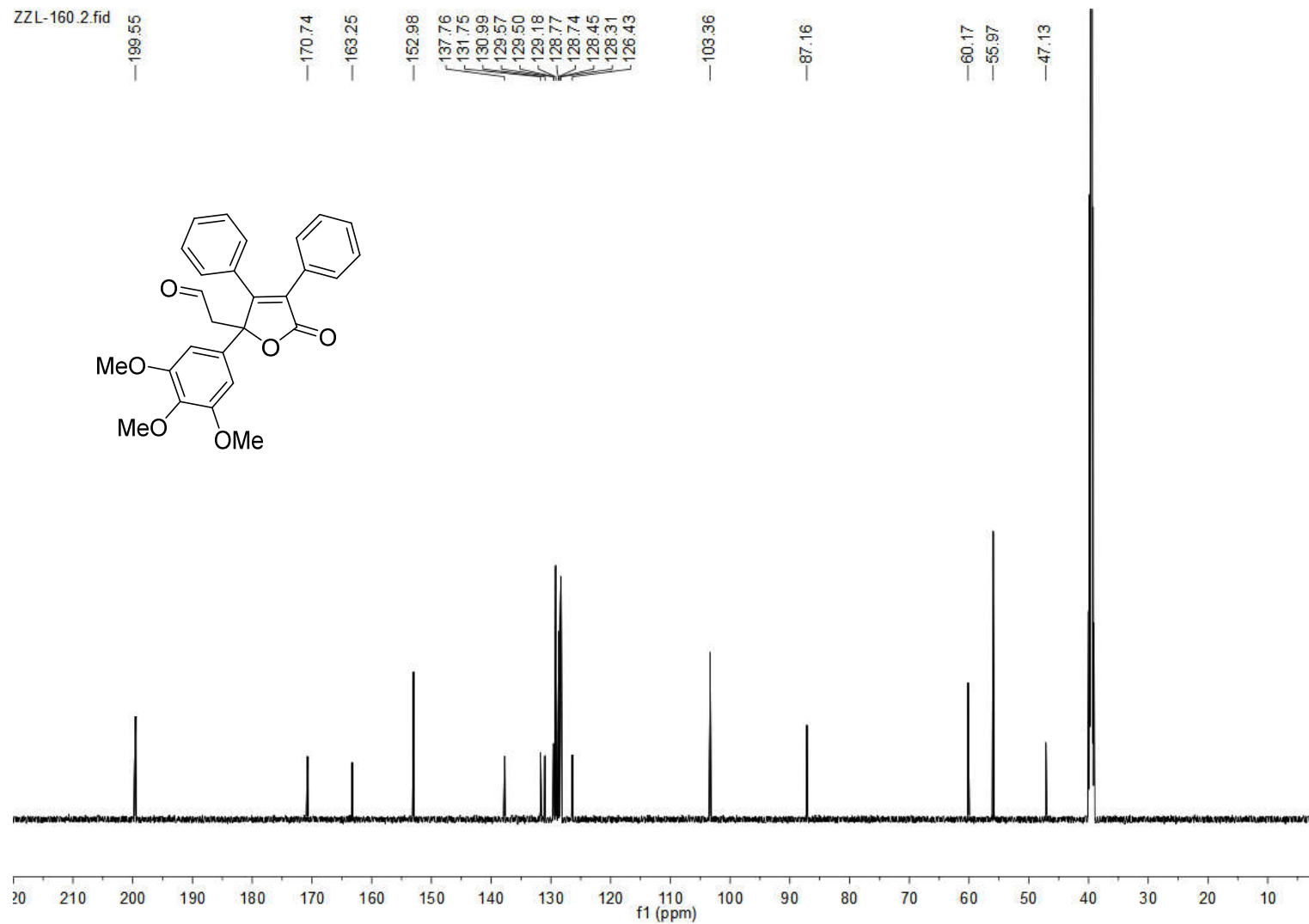


Figure S14.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3e**

ZZL-170.1.fid

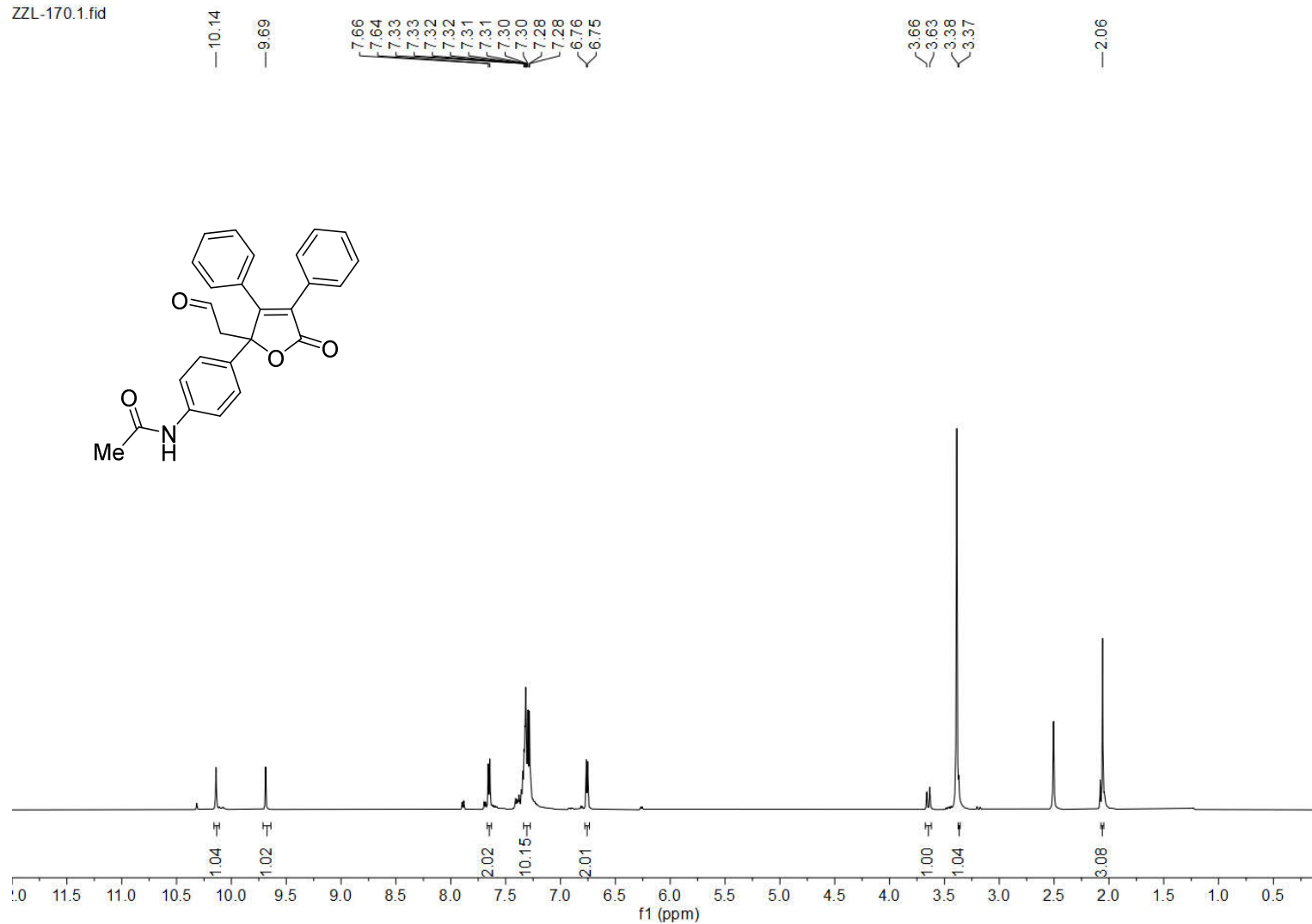


Figure S15.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3f

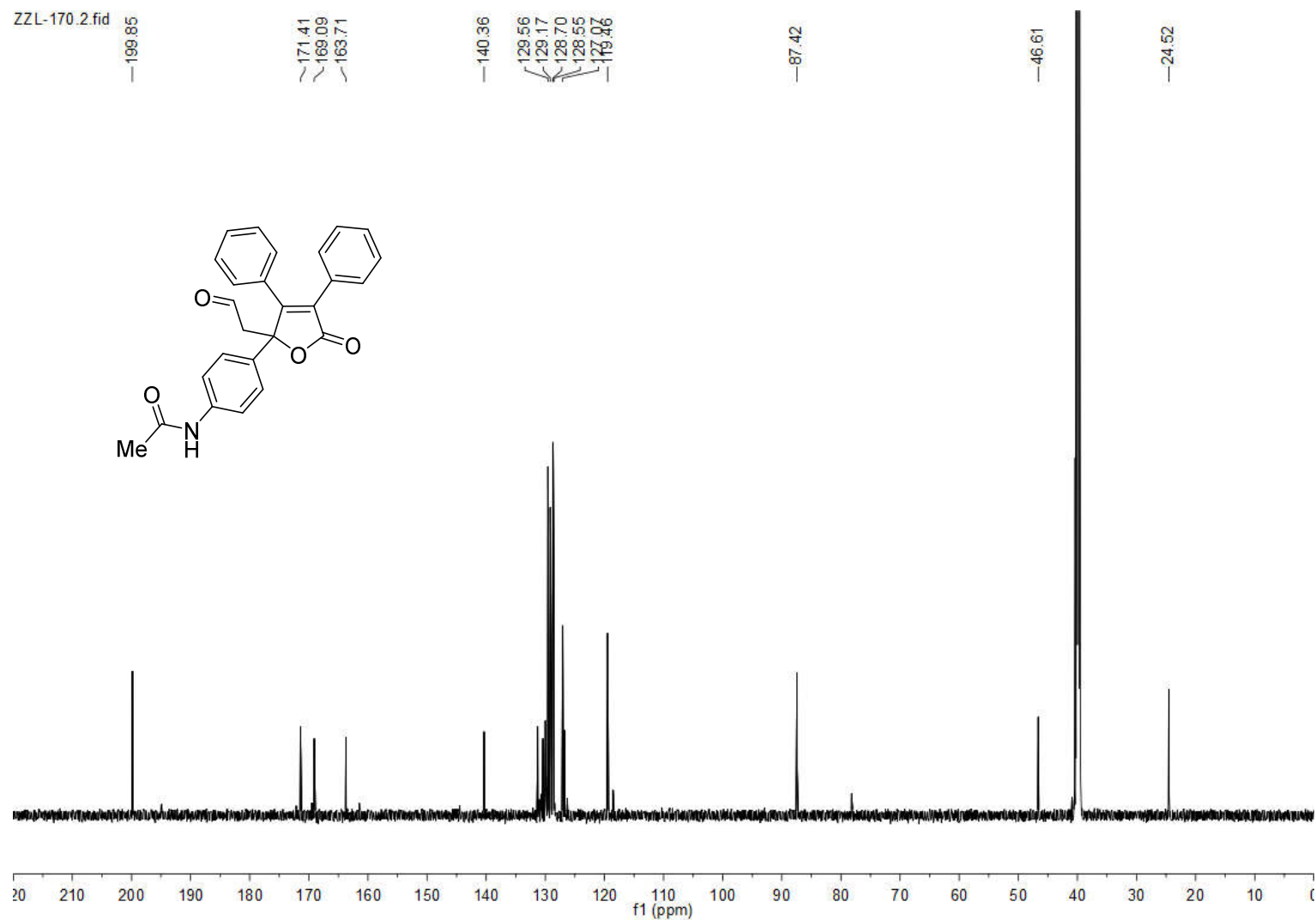


Figure S16.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3f

ZZL-150.1.fid

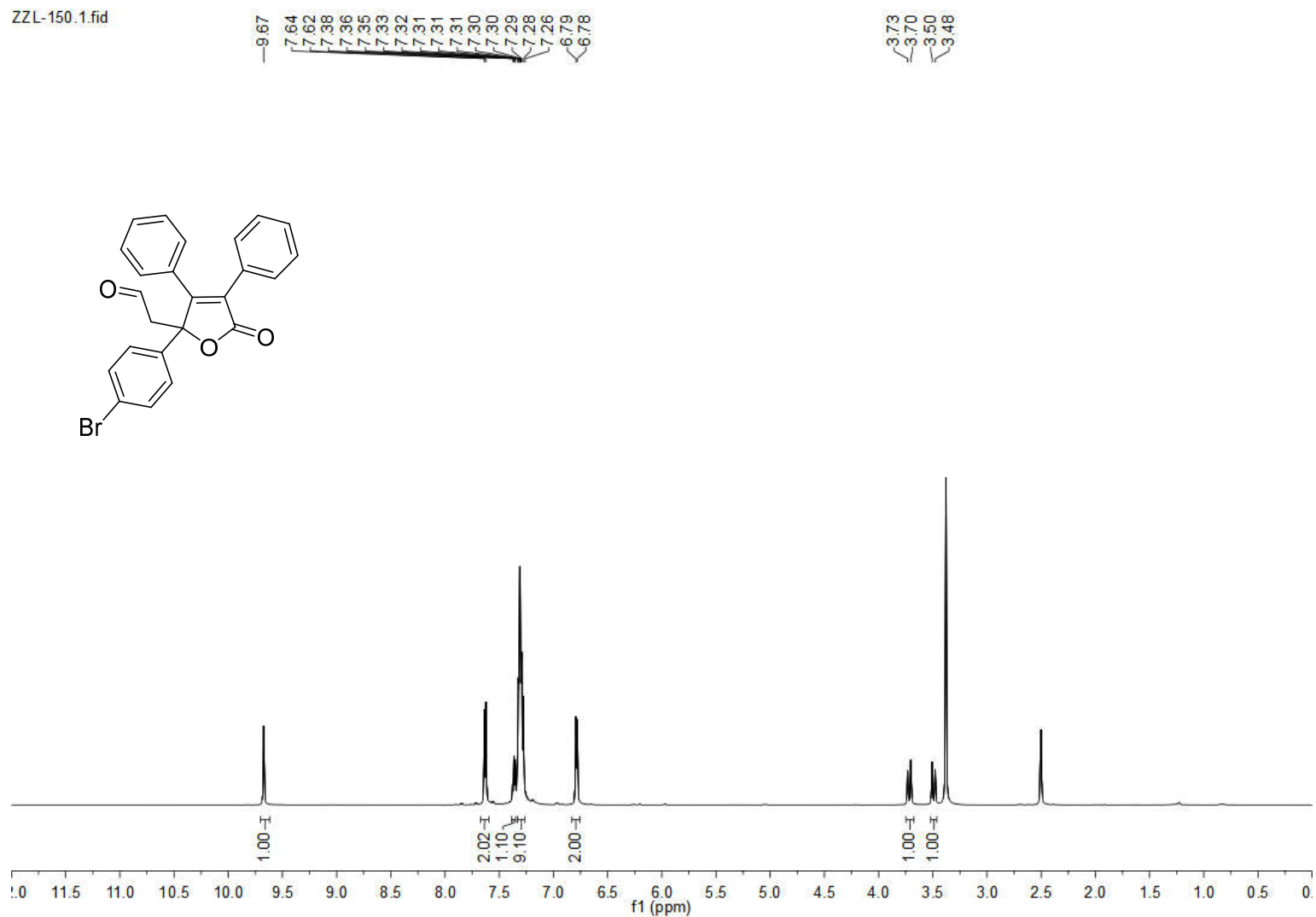
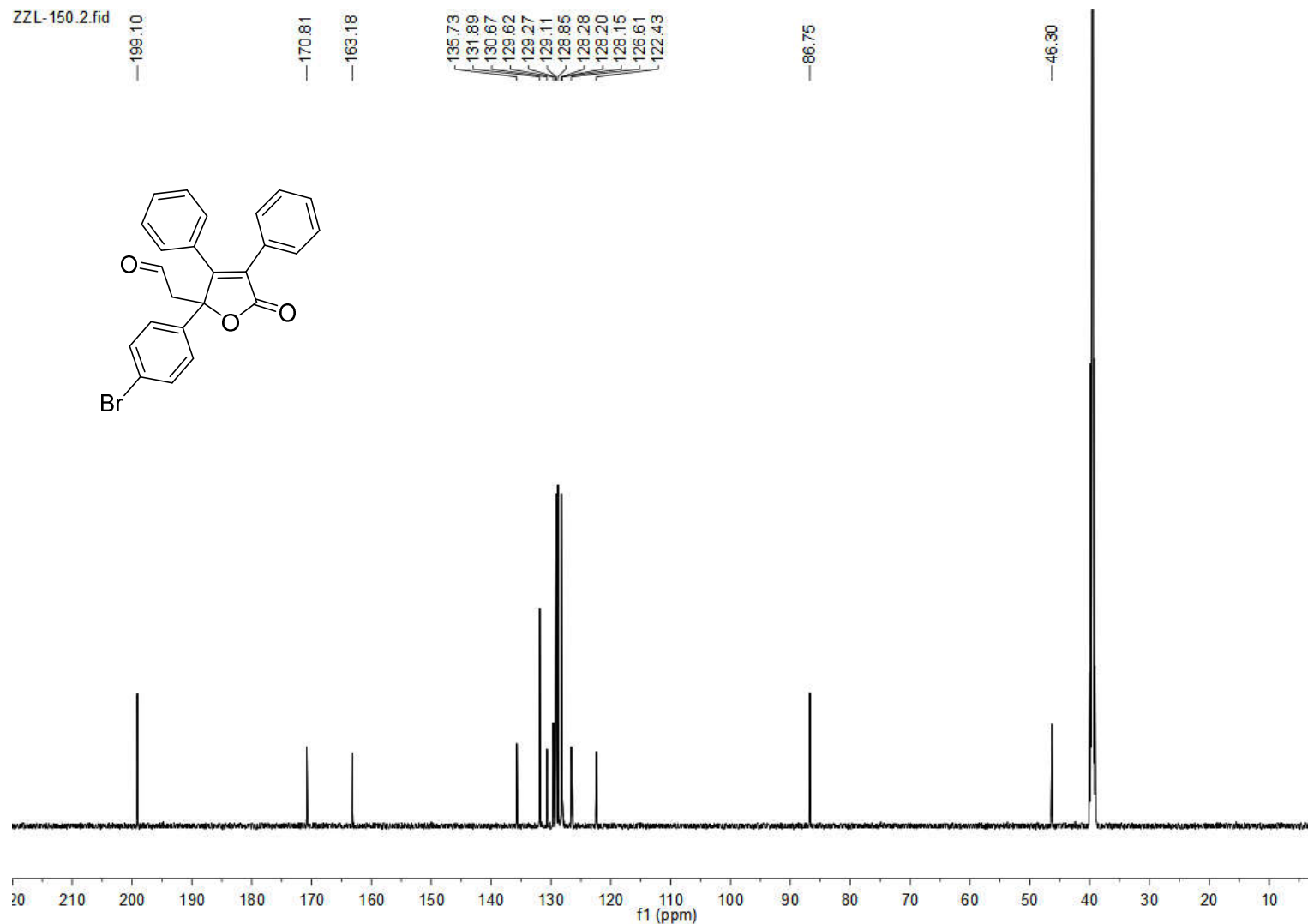


Figure S17. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3g



**Figure S18.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3g**

ZZL-158.1.fid

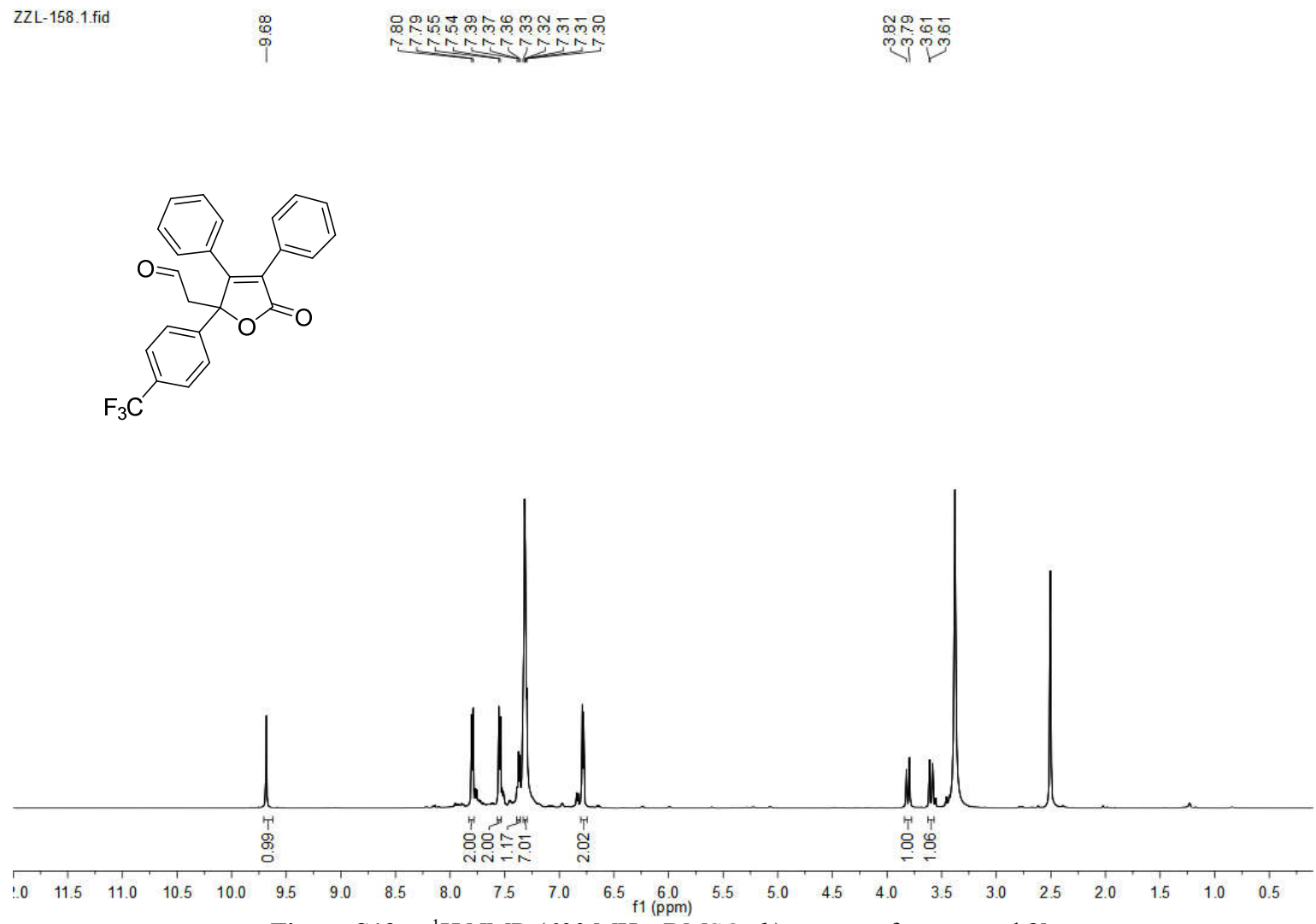
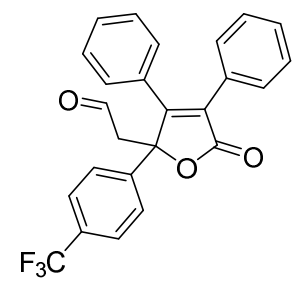


Figure S19. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3h



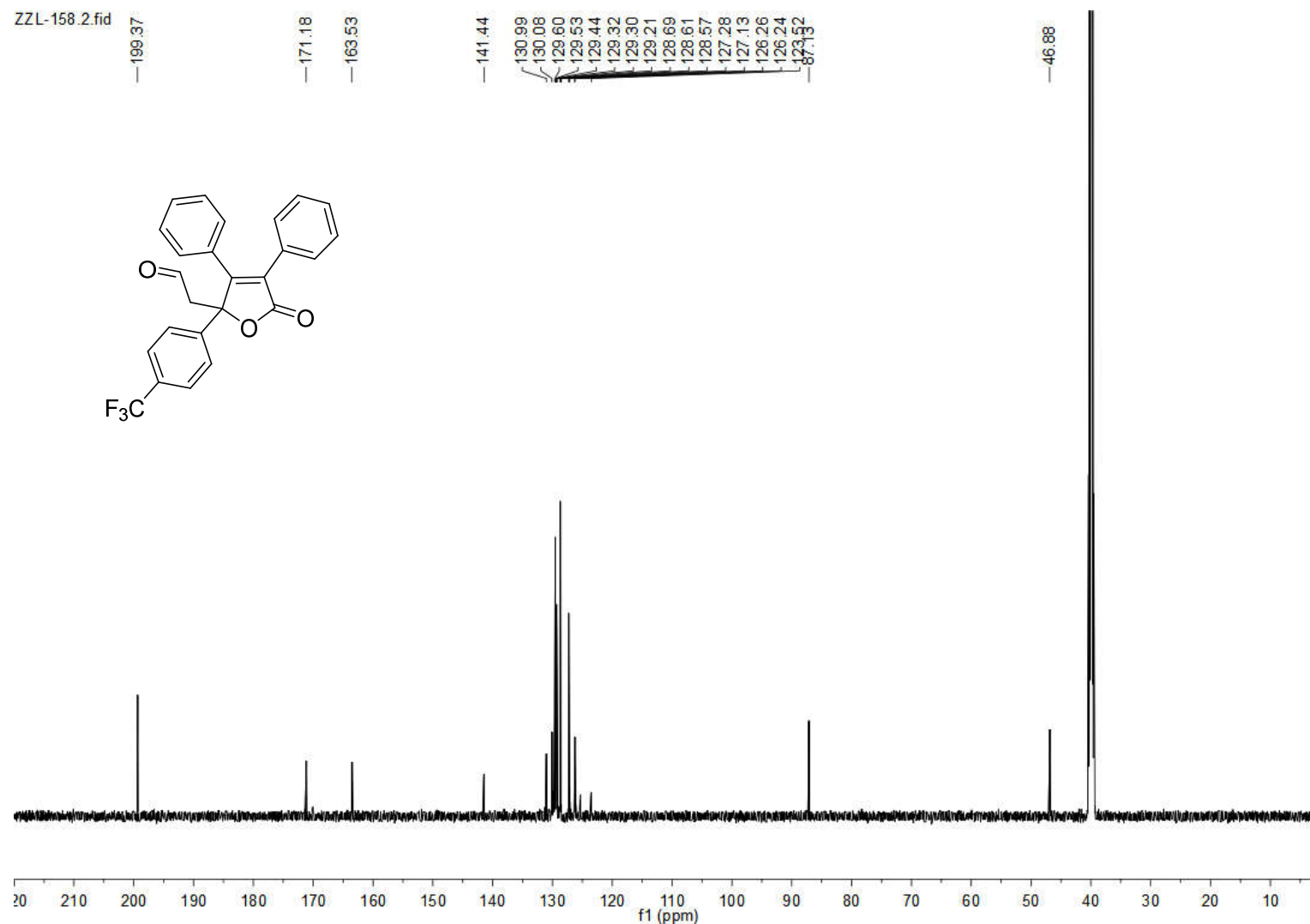
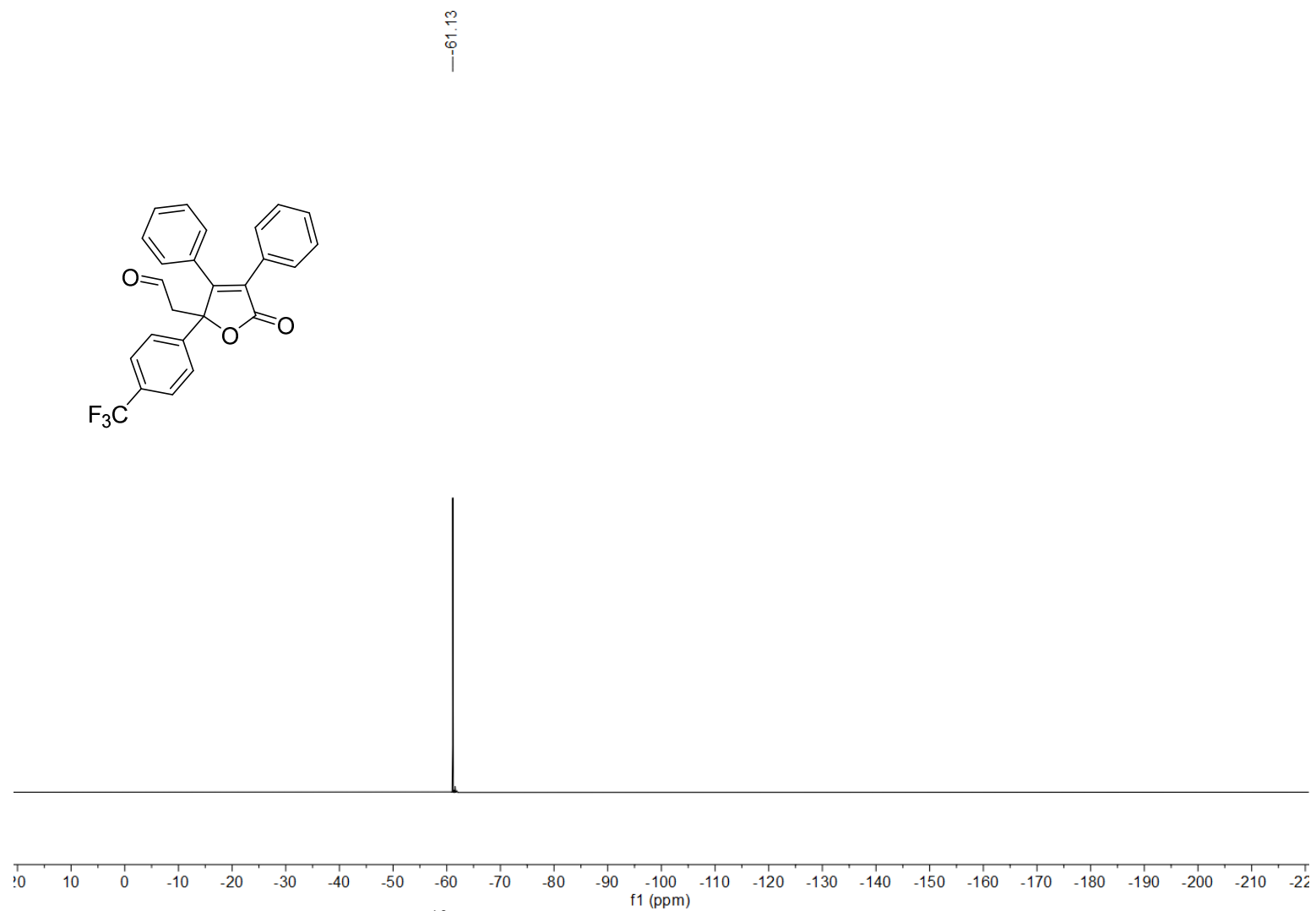
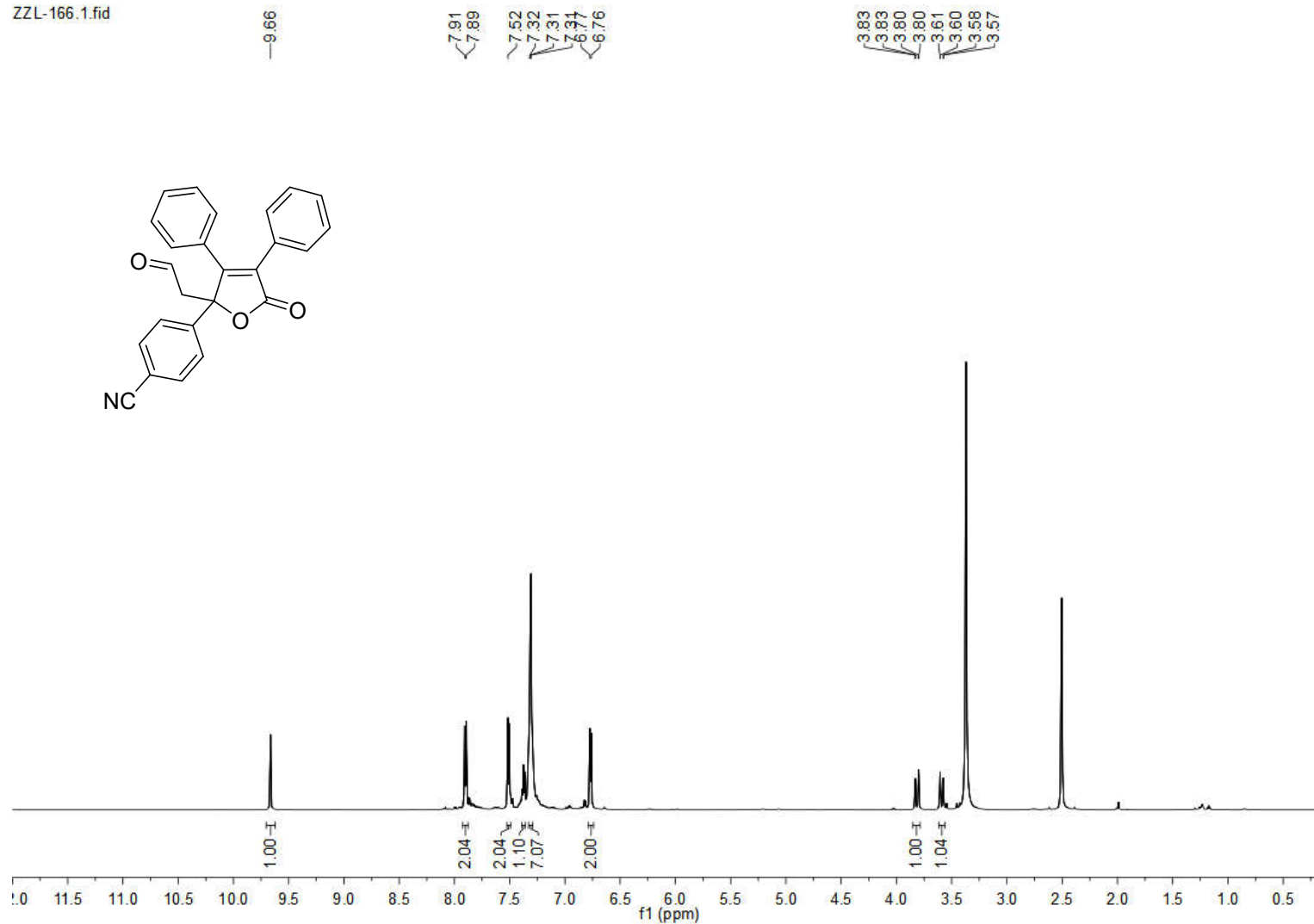


Figure S20.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO}-d_6$ ) spectra of compound **3h**



**Figure S21.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{DMSO}-d_6$ ) spectra of compound **3h**

ZZL-166.1.fid



**Figure S22.** <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3i

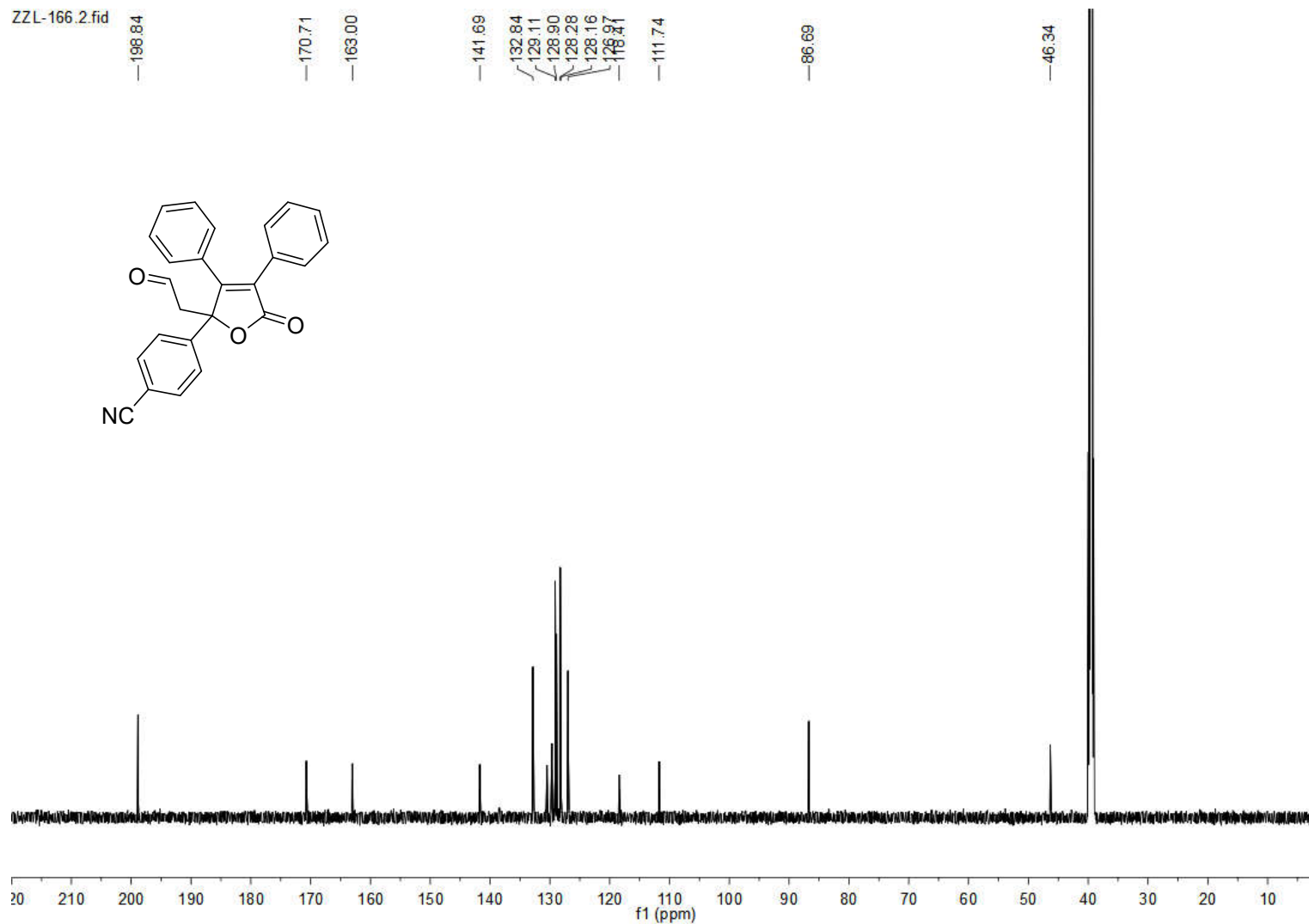


Figure S23.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3i**

ZZL-162.1.fid

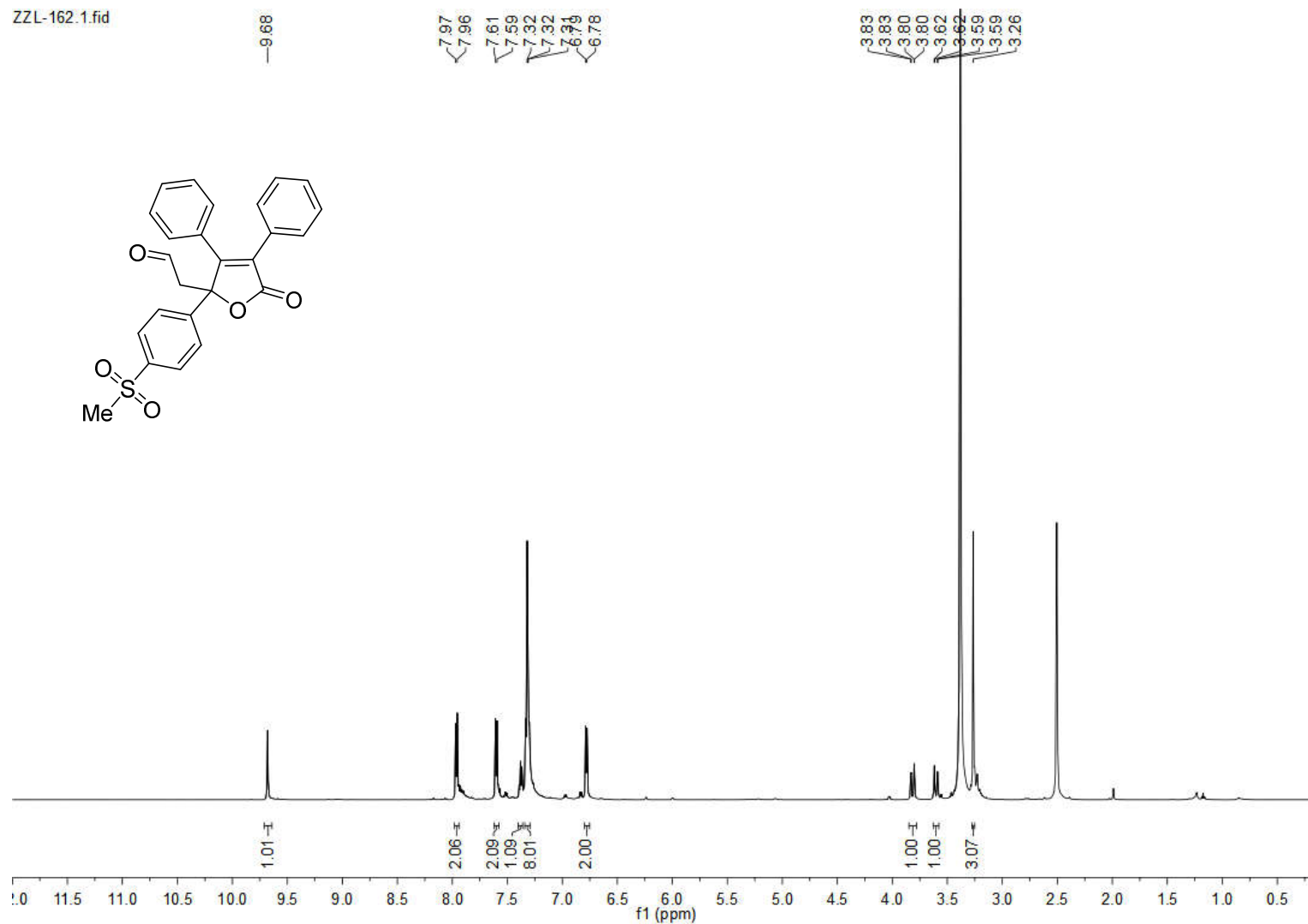


Figure S24. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3j

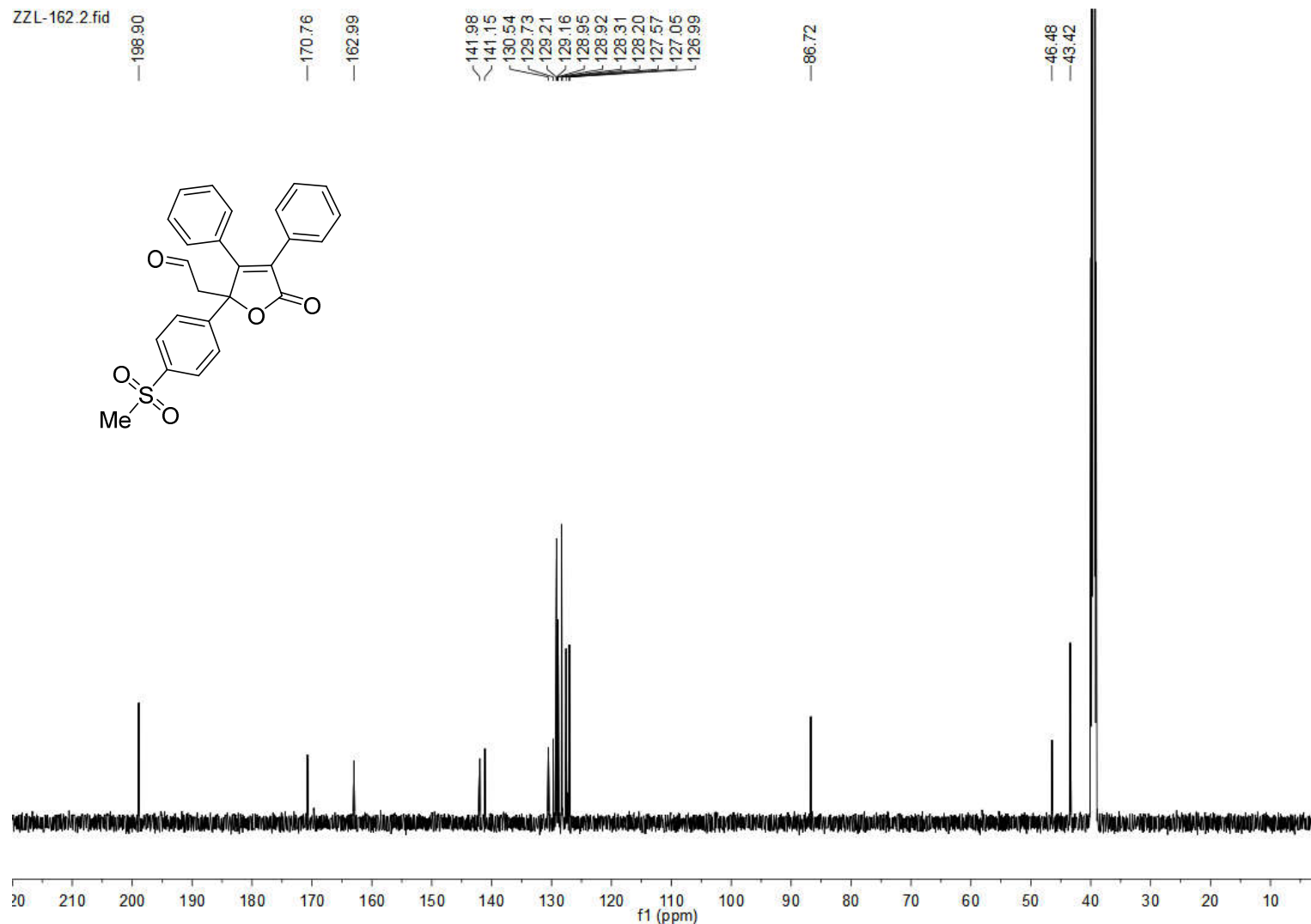
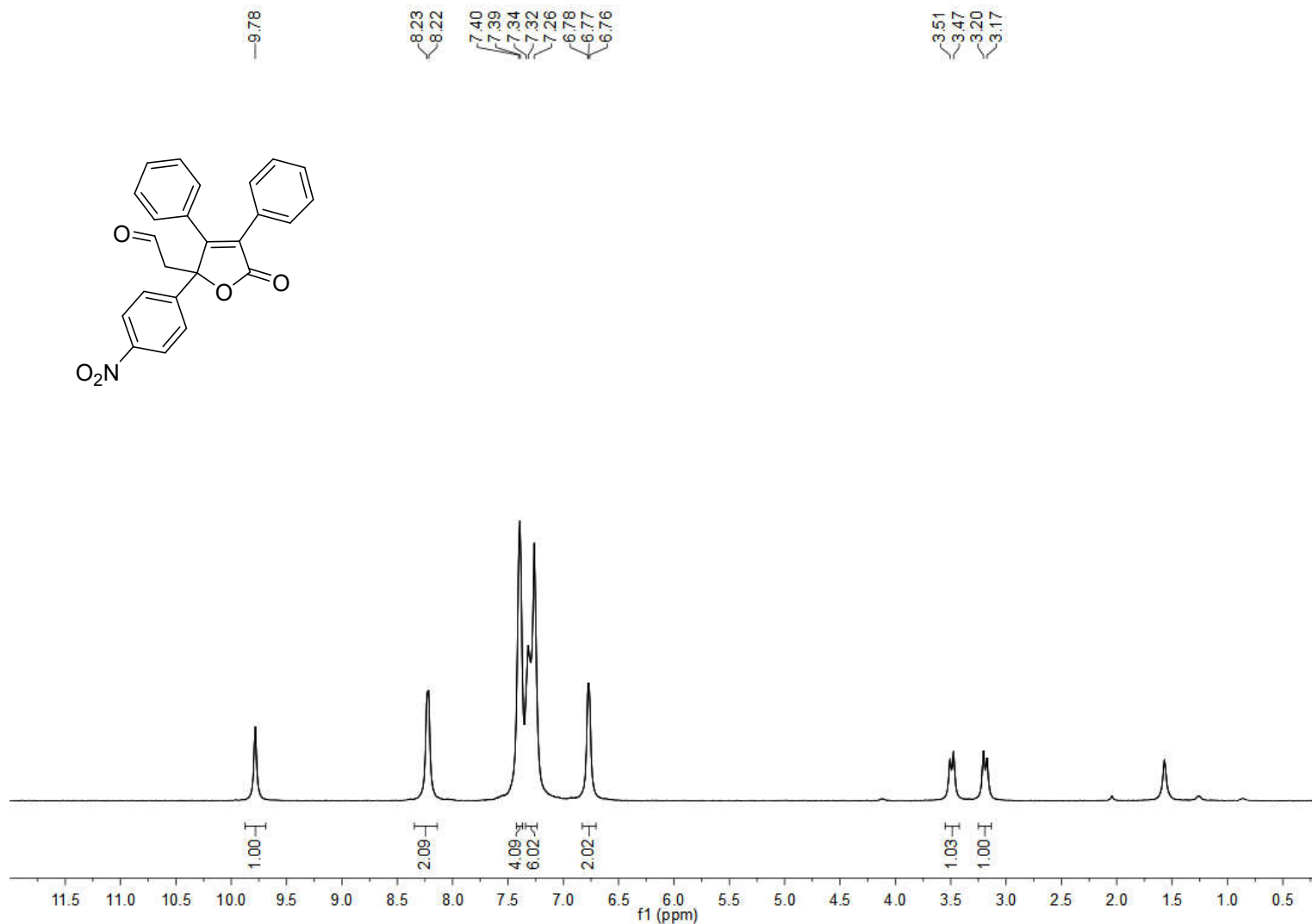


Figure S25.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3j



**Figure S26.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **3k**

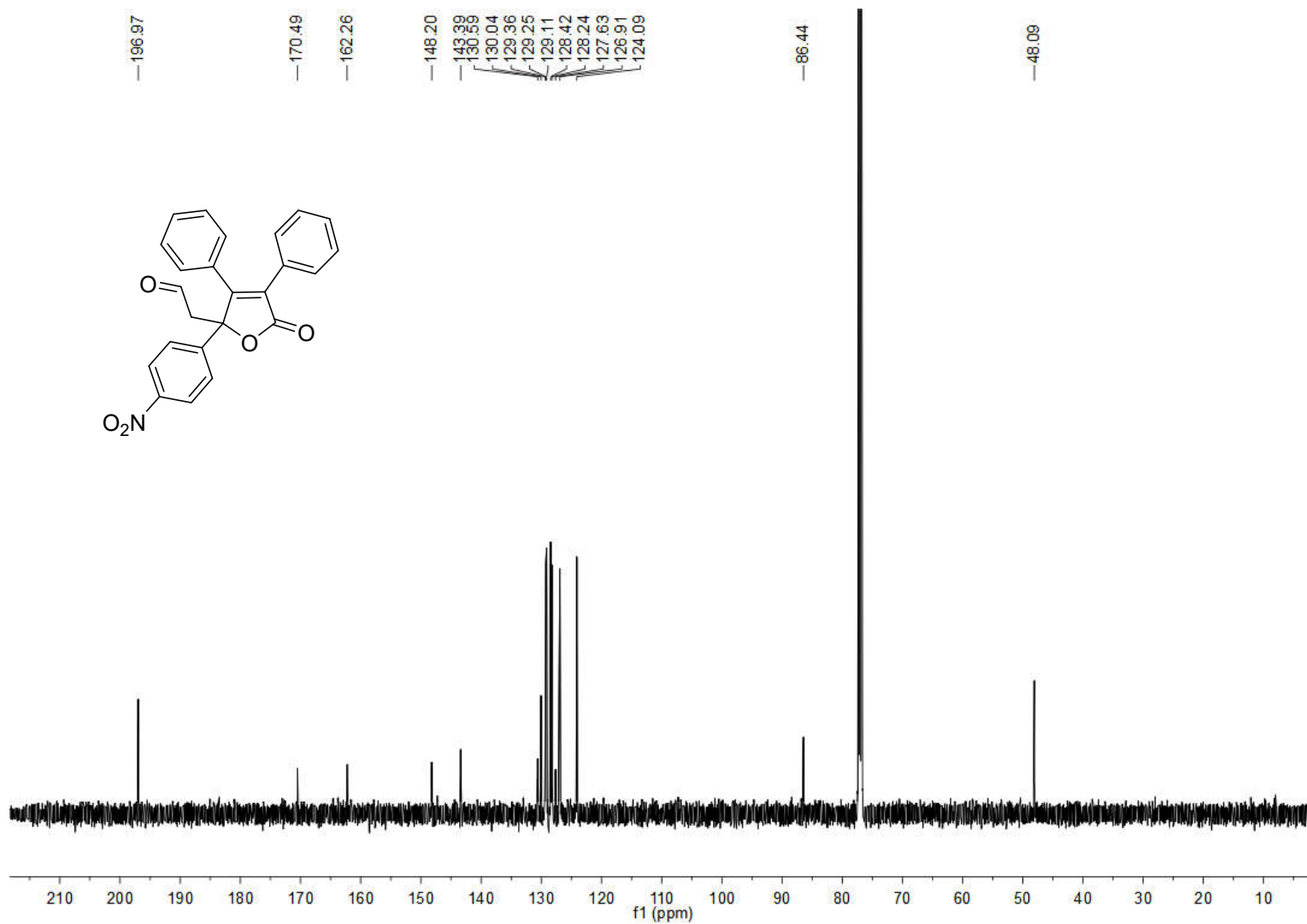


Figure S27. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 3k



ZZL-168.1.fid

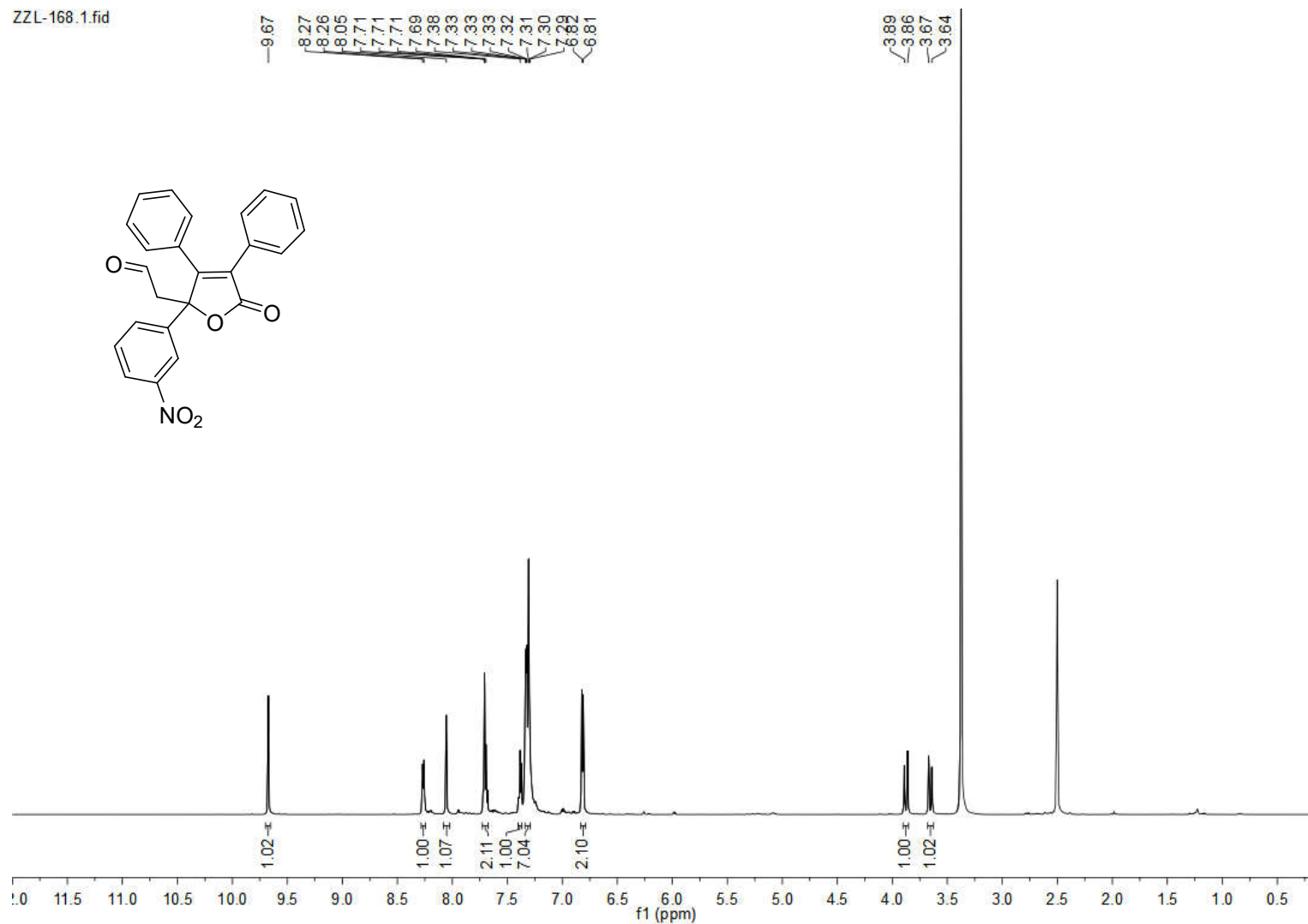


Figure S28.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 31

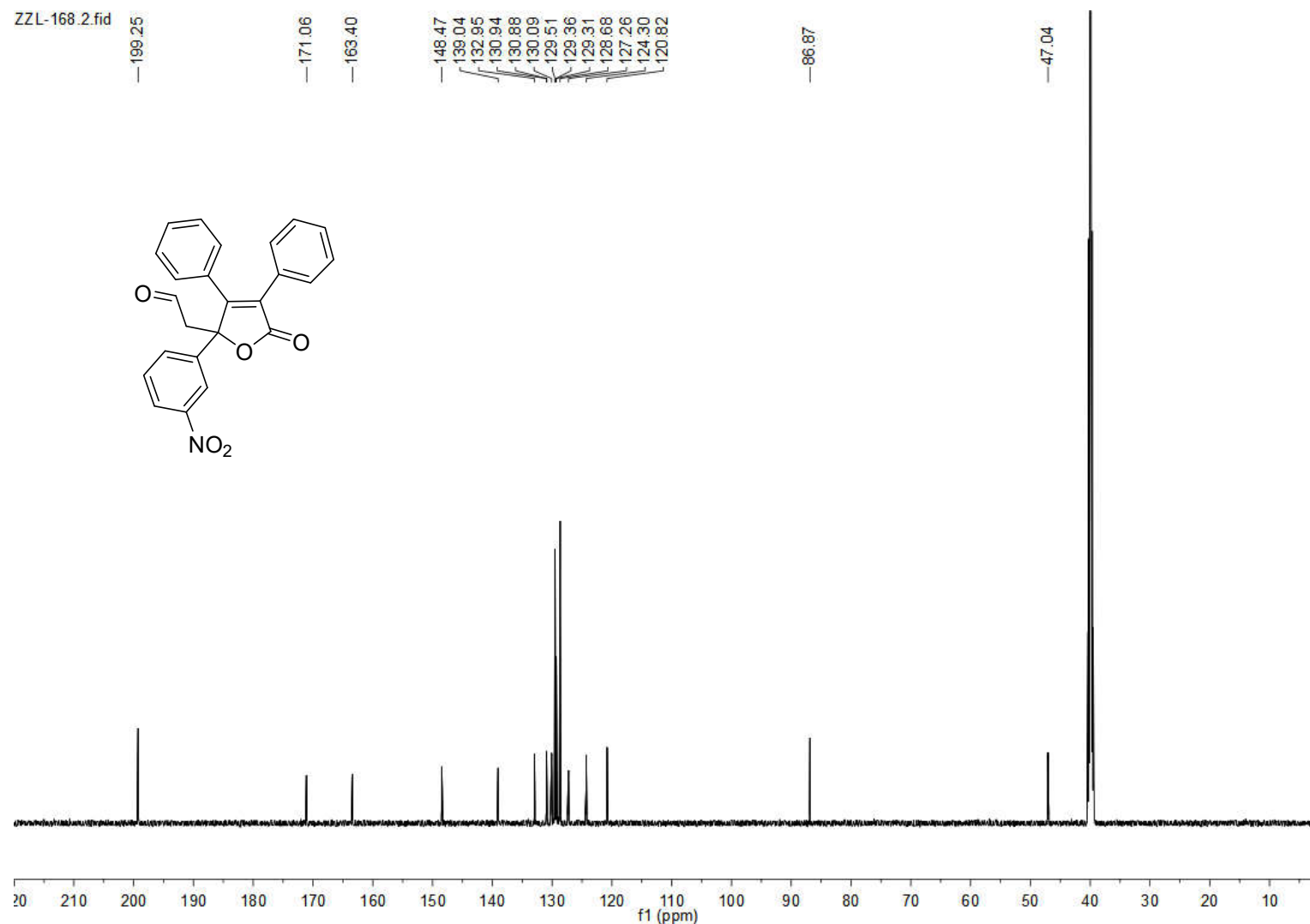
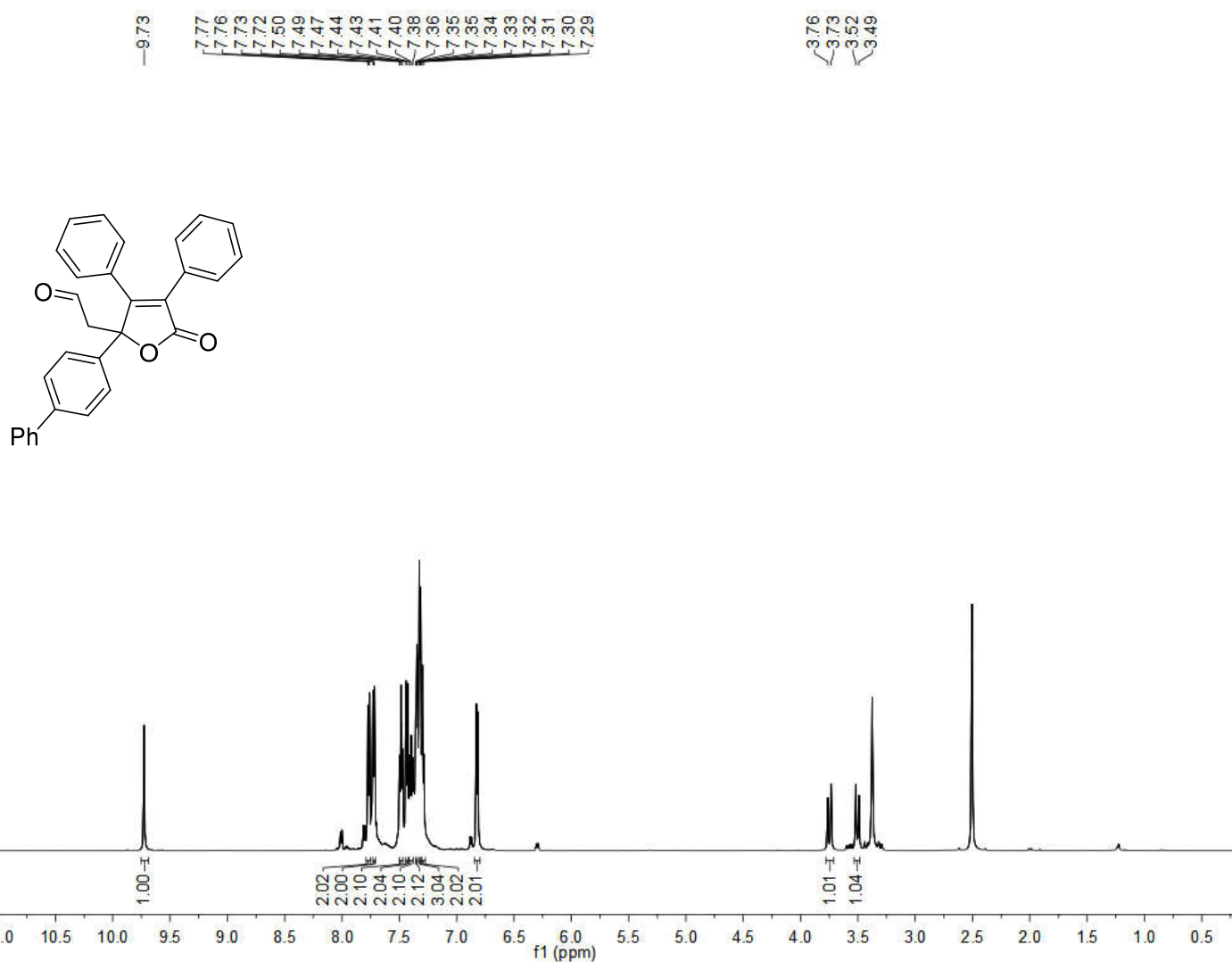
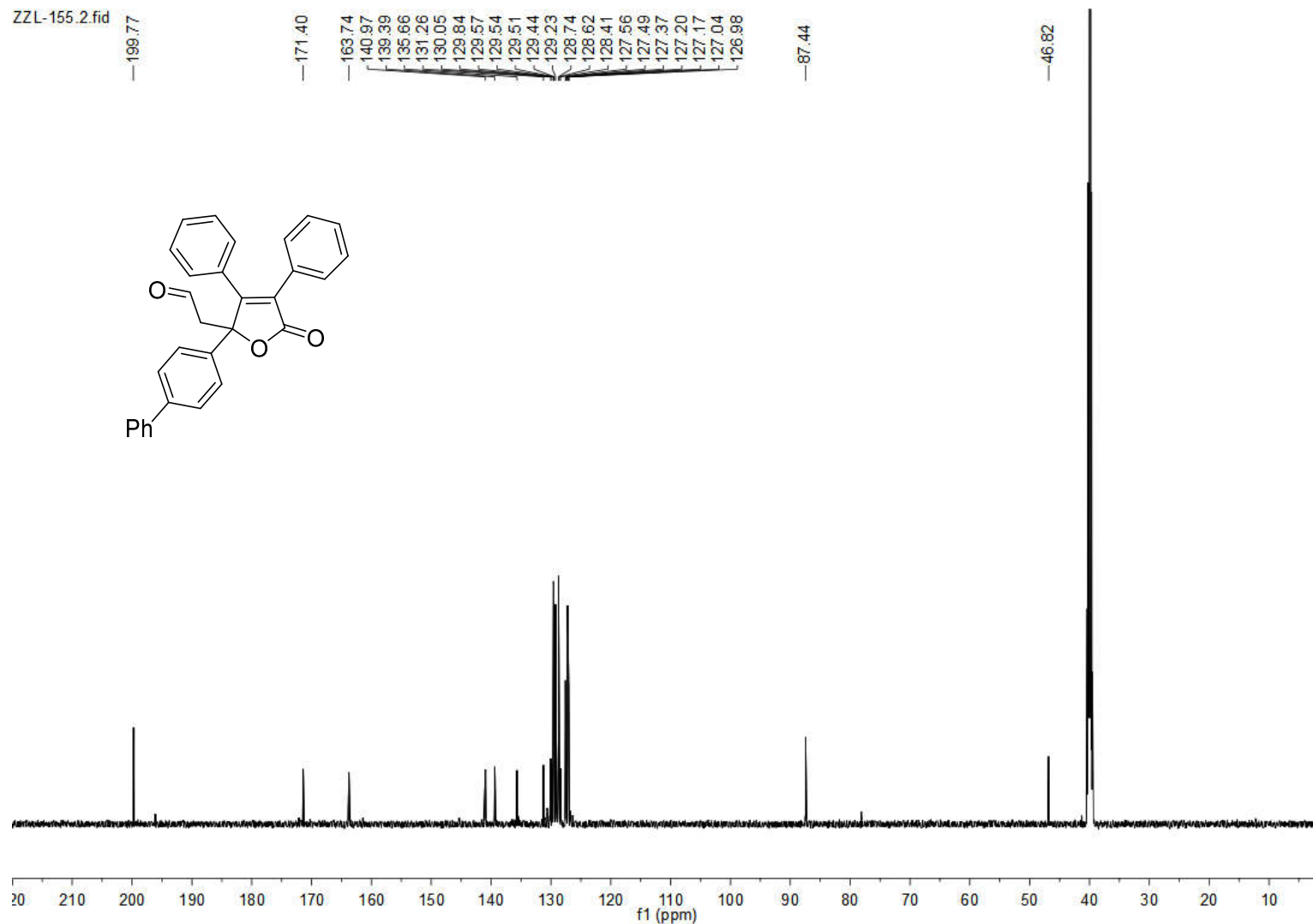


Figure S29. <sup>13</sup>C NMR (150 MHz, DMSO-*d*<sub>6</sub>) spectra of compound **31**

ZZL-155.1.fid



**Figure S30.** <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound **3m**



**Figure S31.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3m**

ZZL-159.1.fid

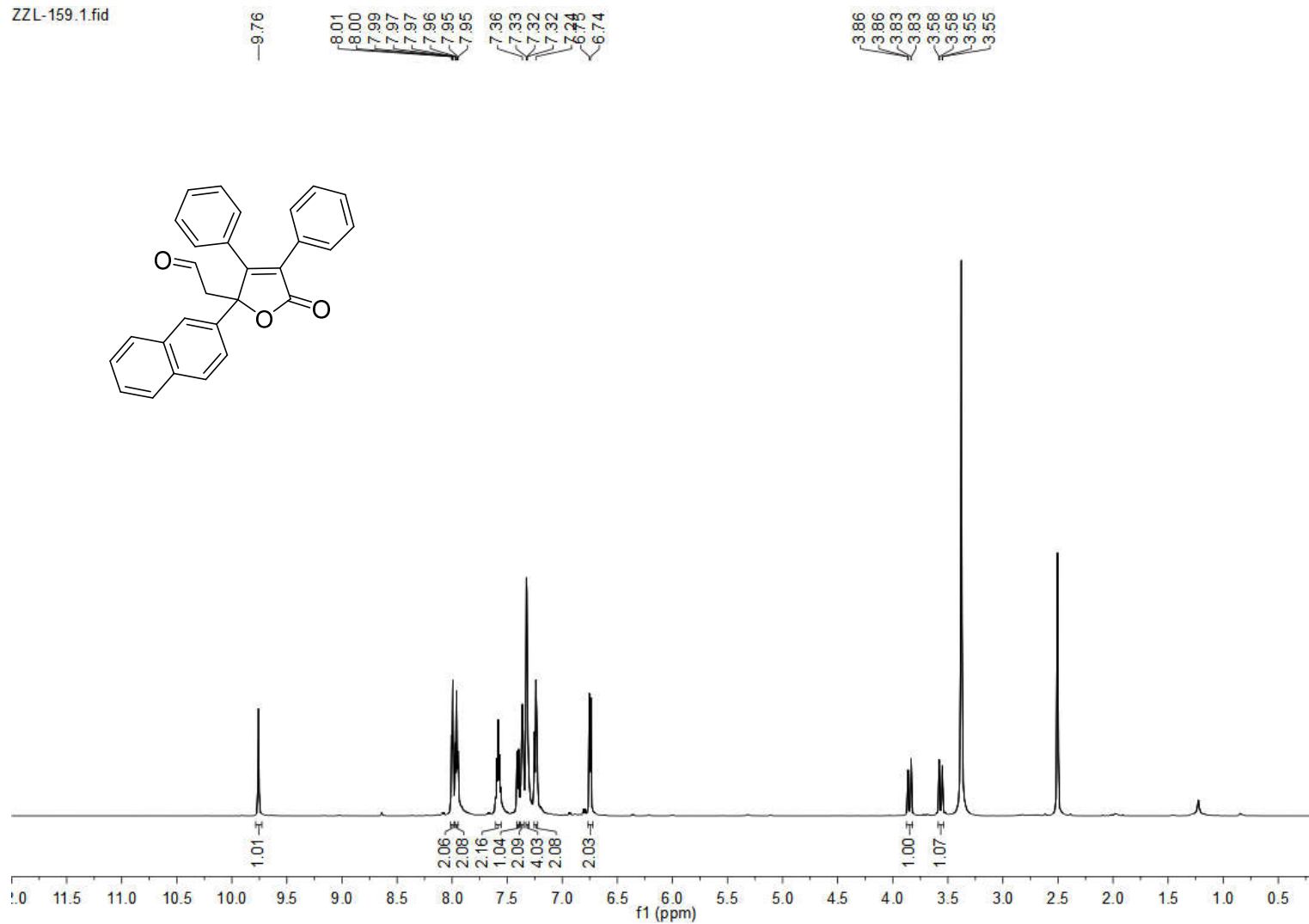
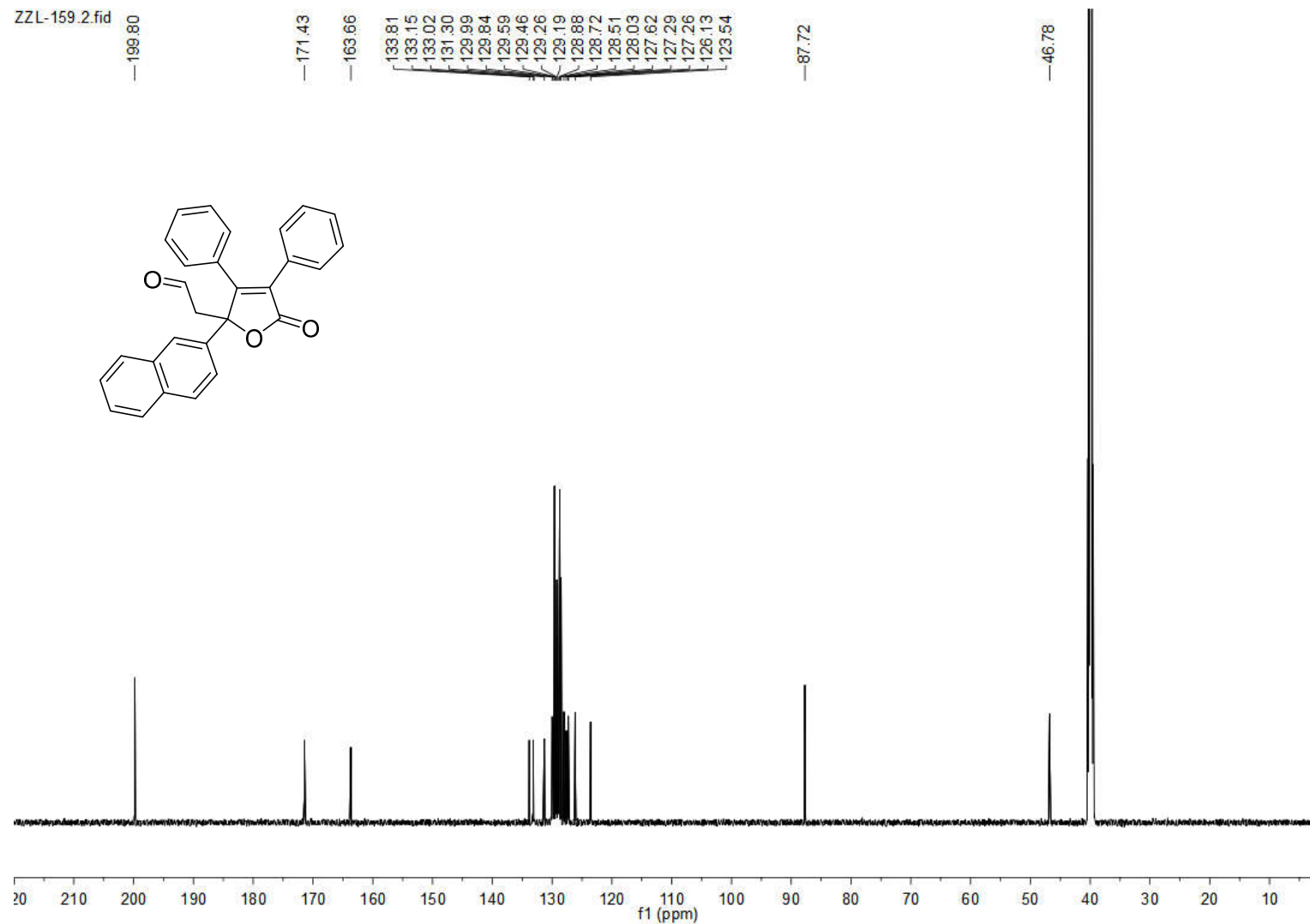


Figure S32. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3n



**Figure S33.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3n**

ZZL-156.1.fid

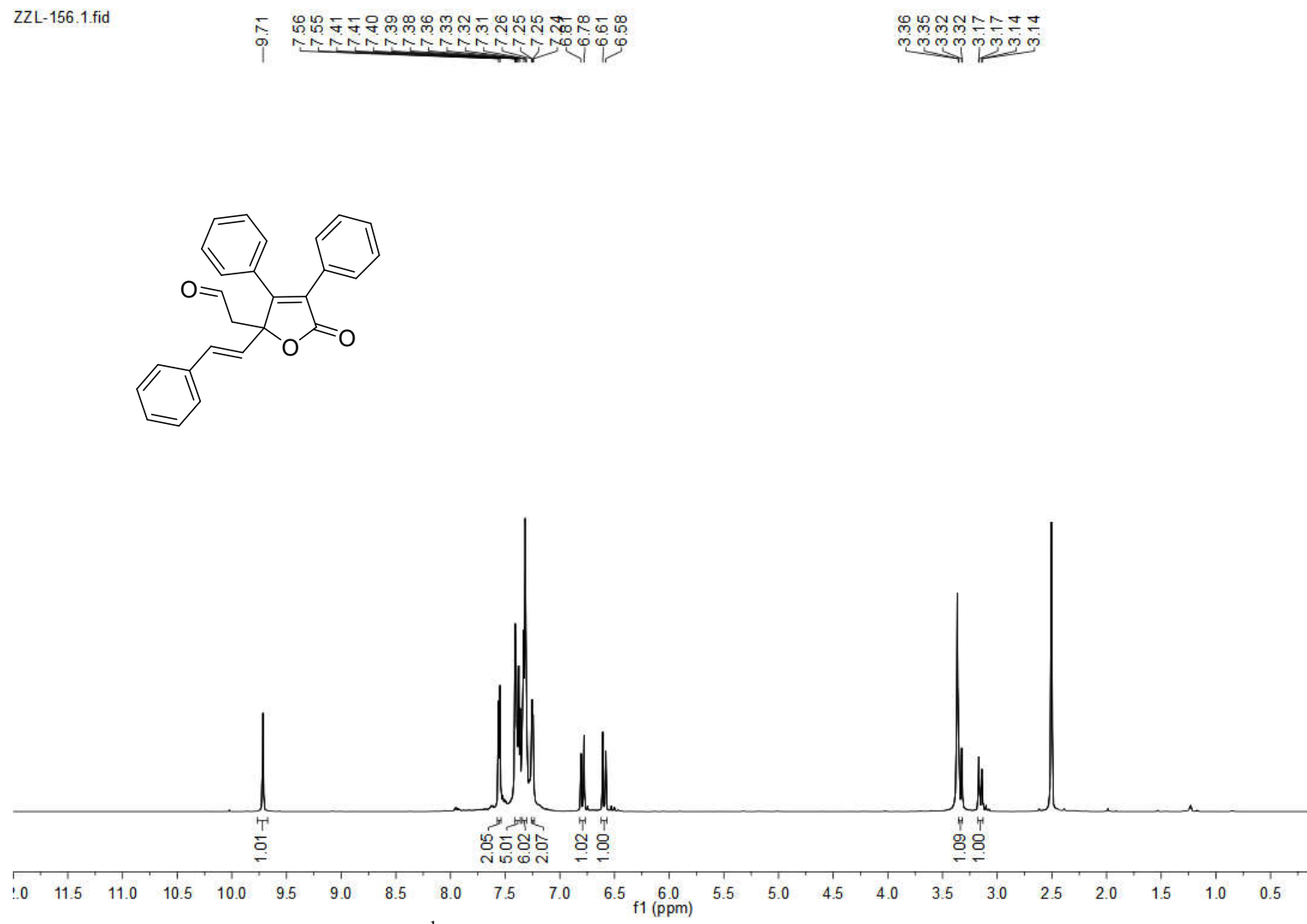
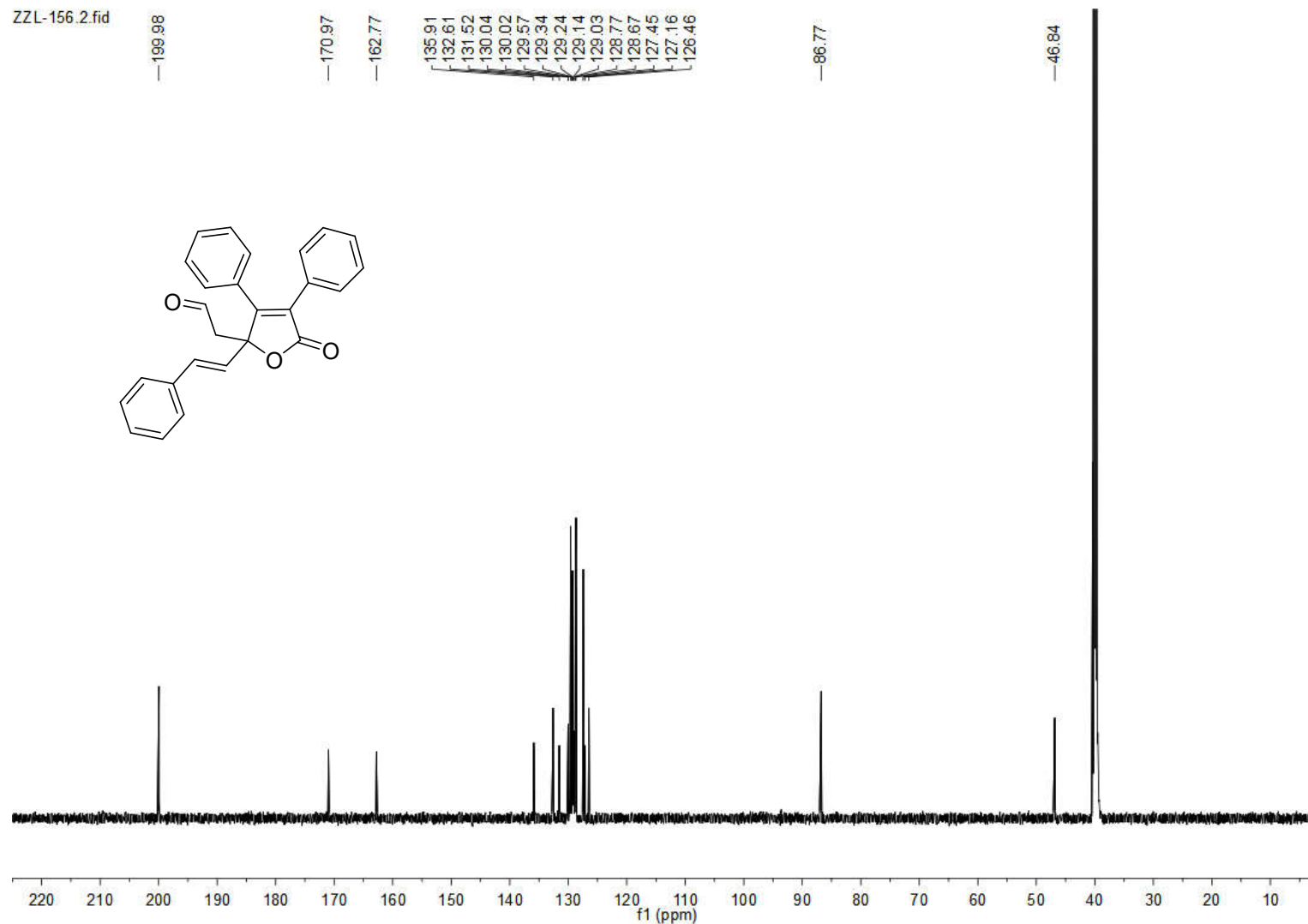


Figure S34 <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 30



**Figure S35.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3o**



ZZL-157.1.fid

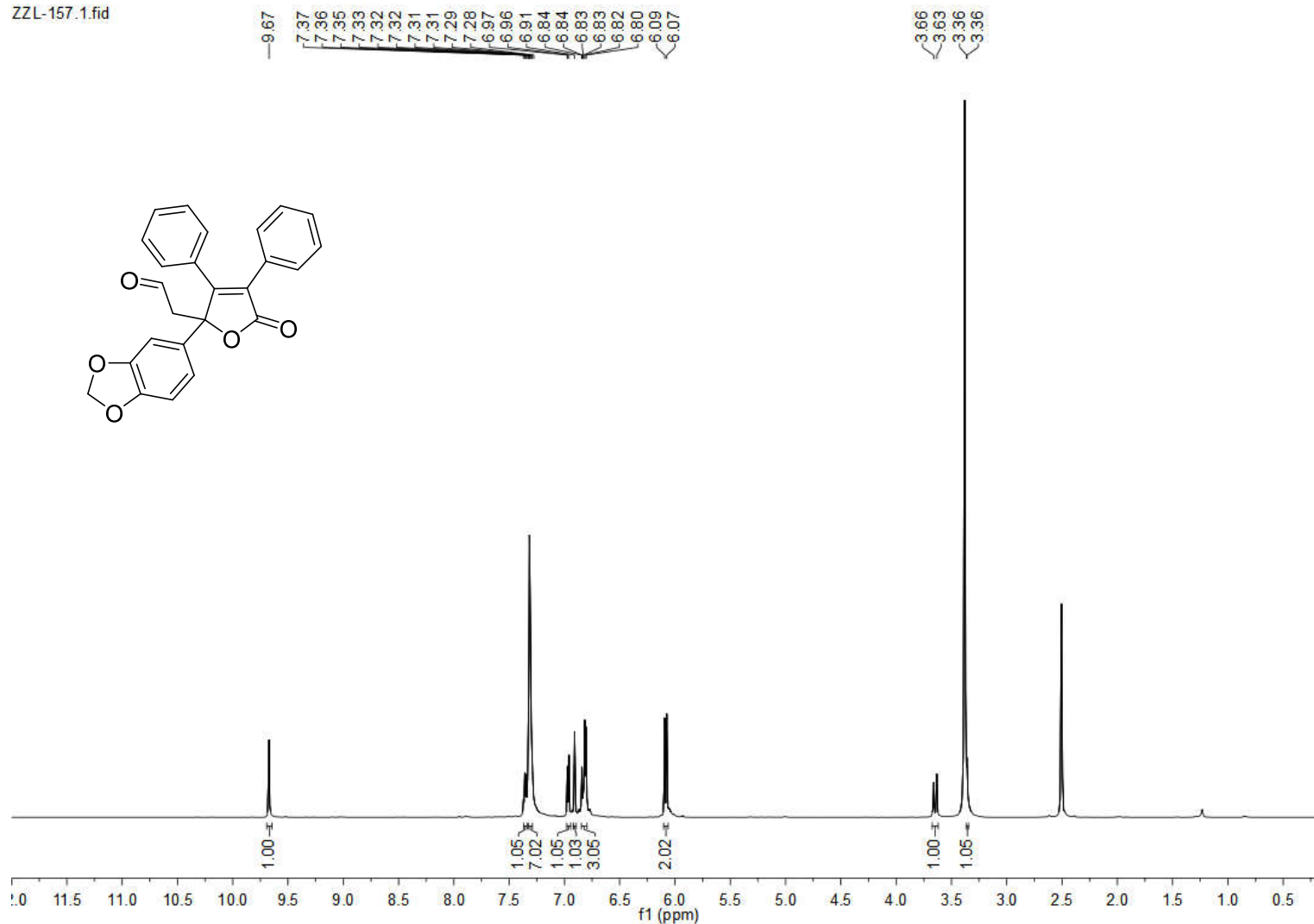


Figure S36.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3p**

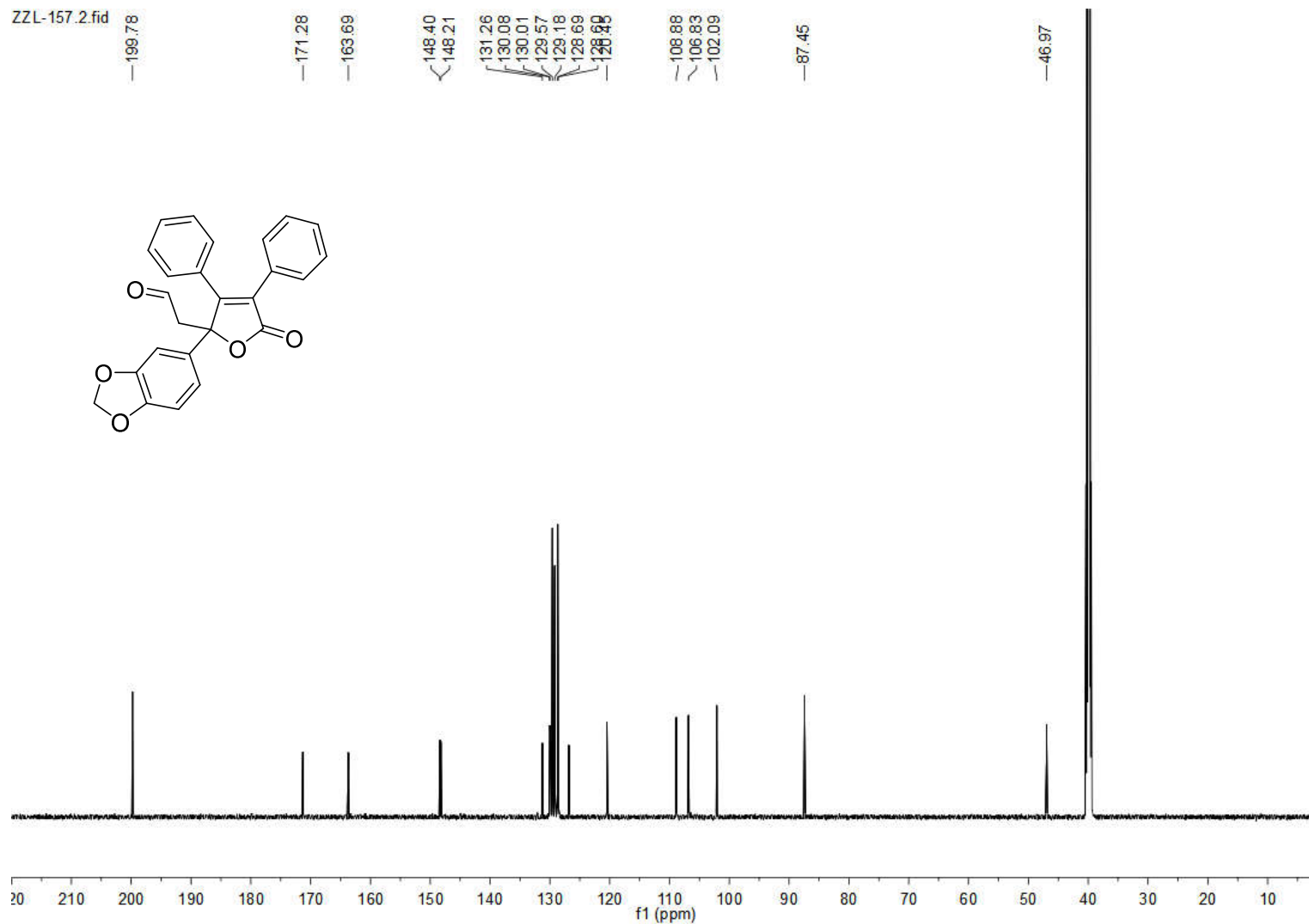
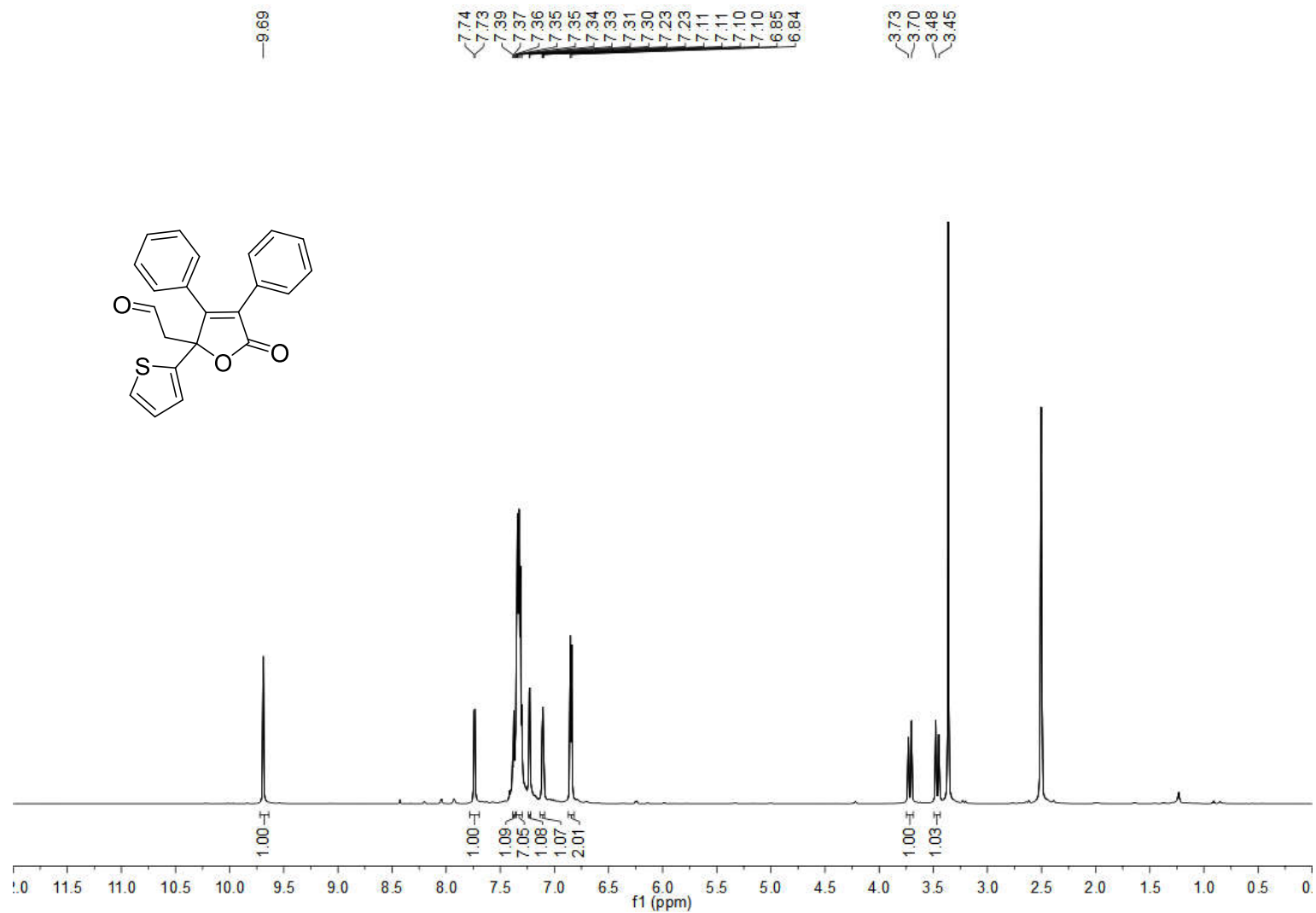


Figure S37.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3p



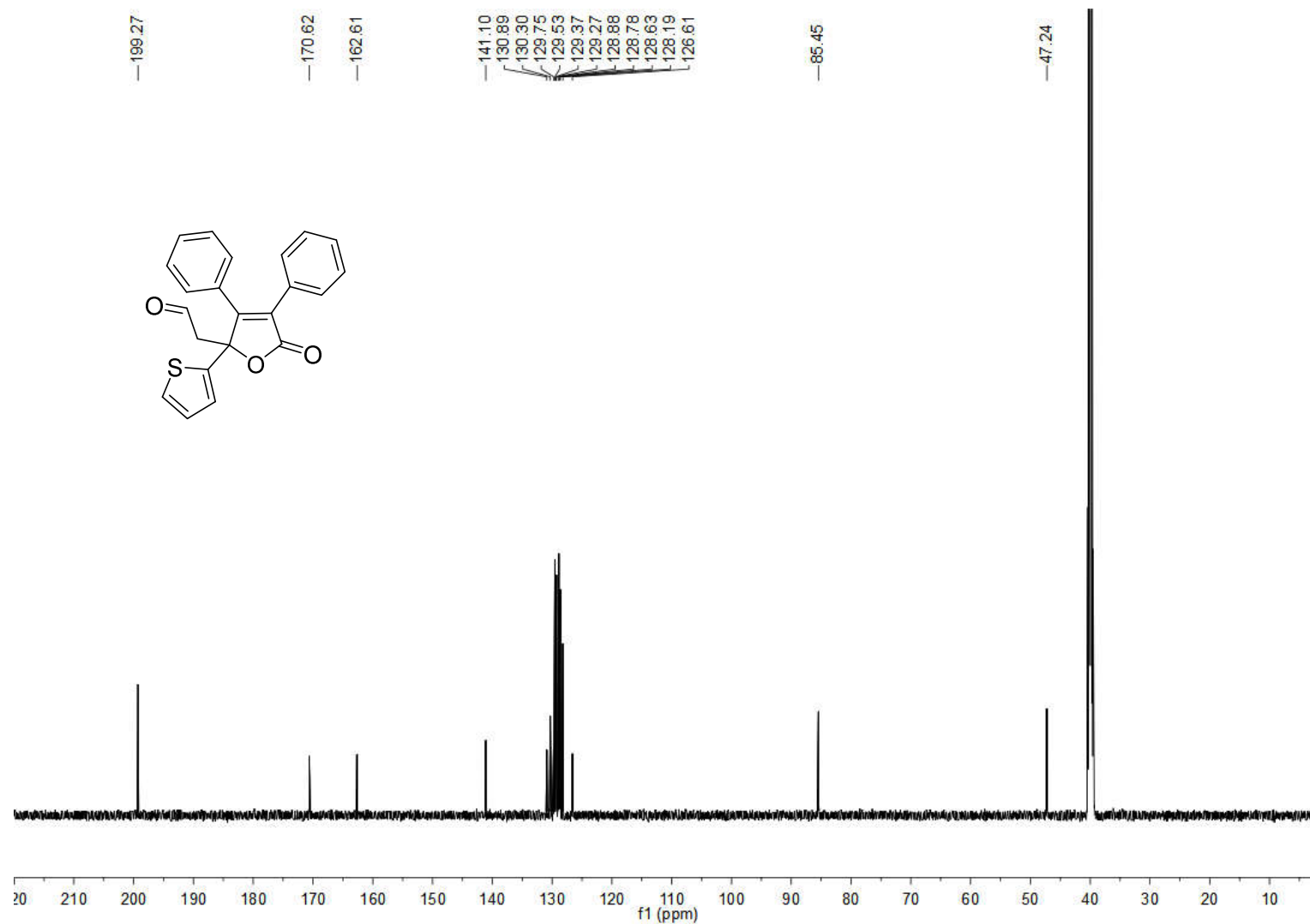


Figure S39.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO}-d_6$ ) spectra of compound **3q**

ZZL-161.1.fid

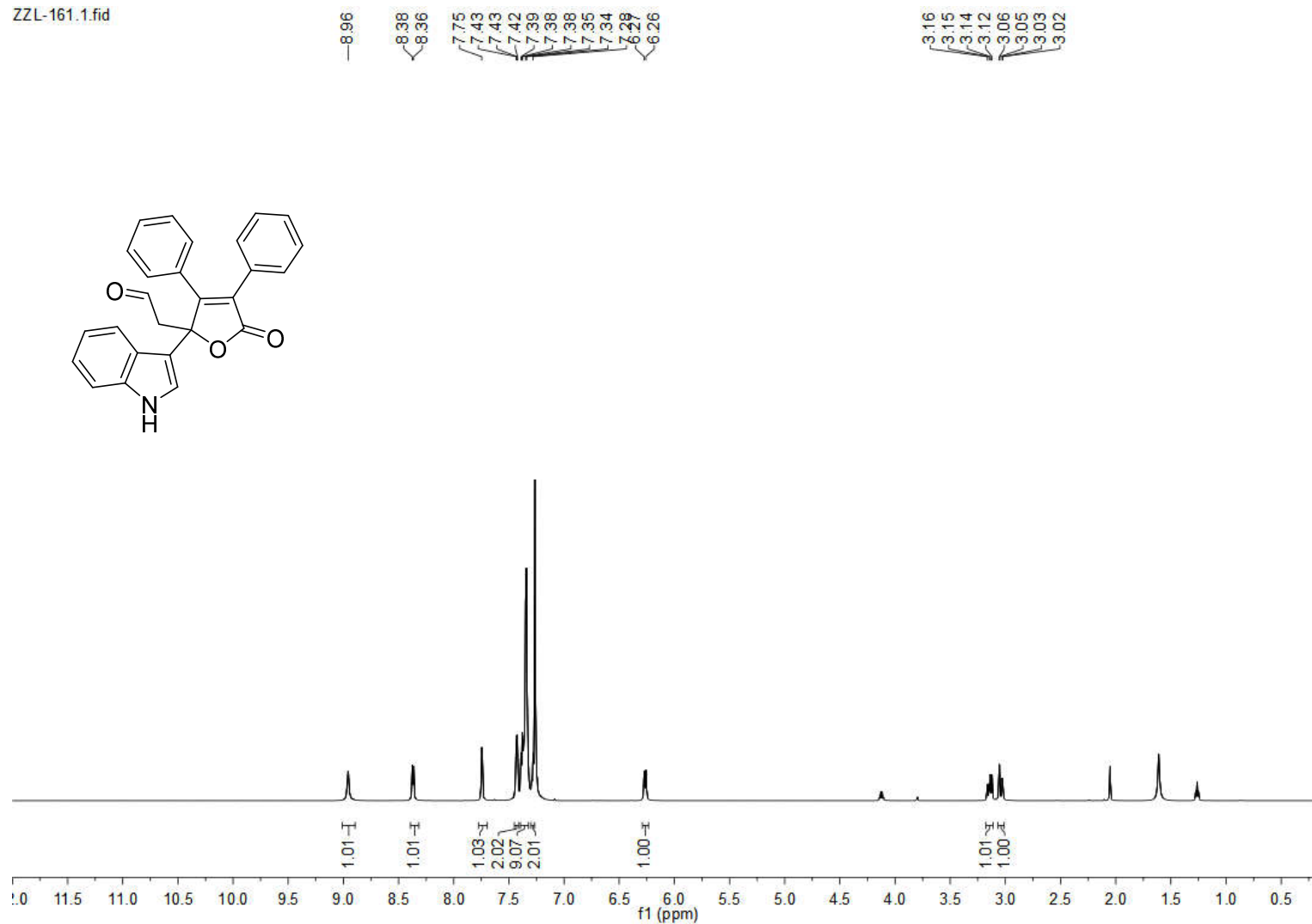


Figure S40.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound 3r

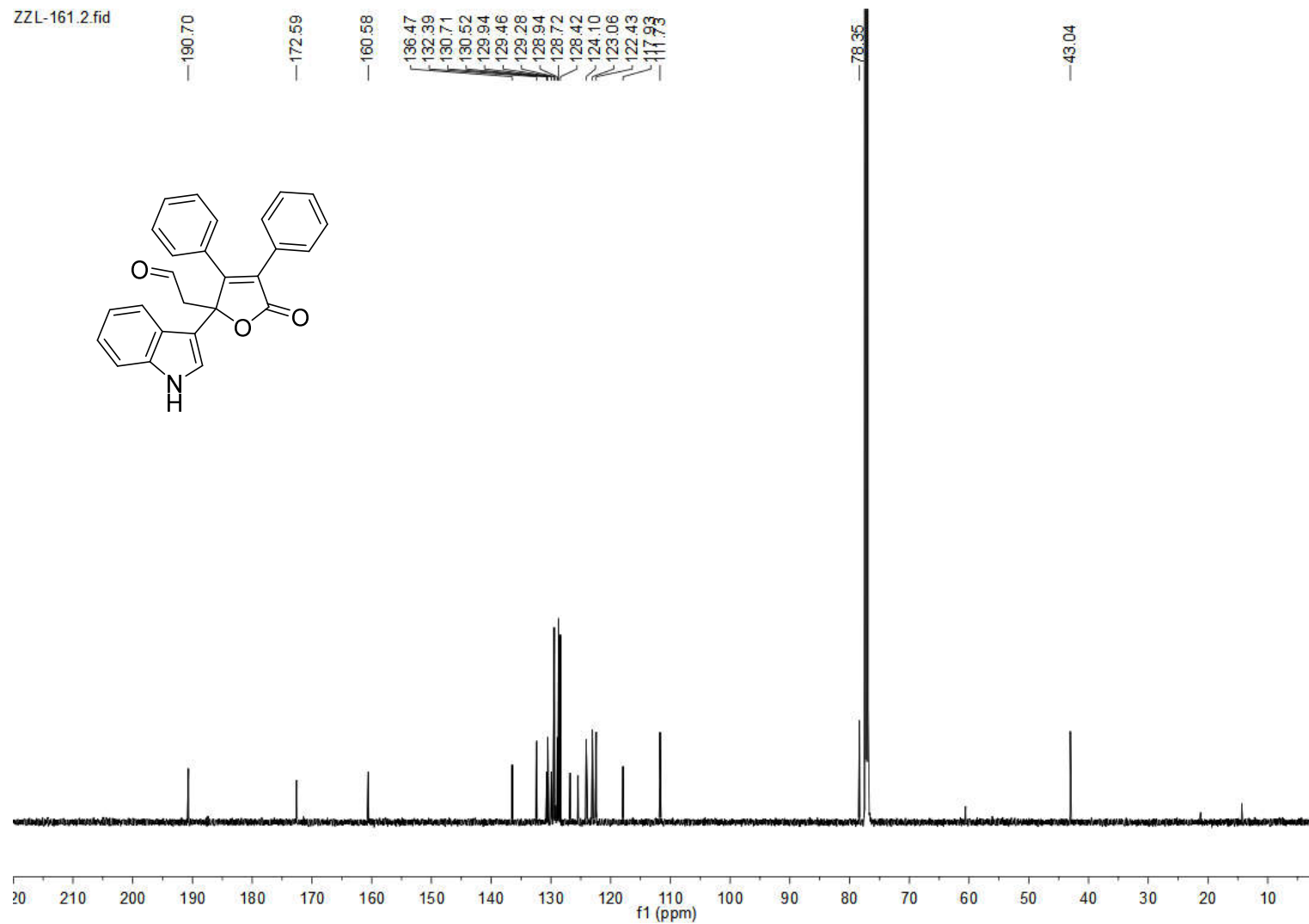
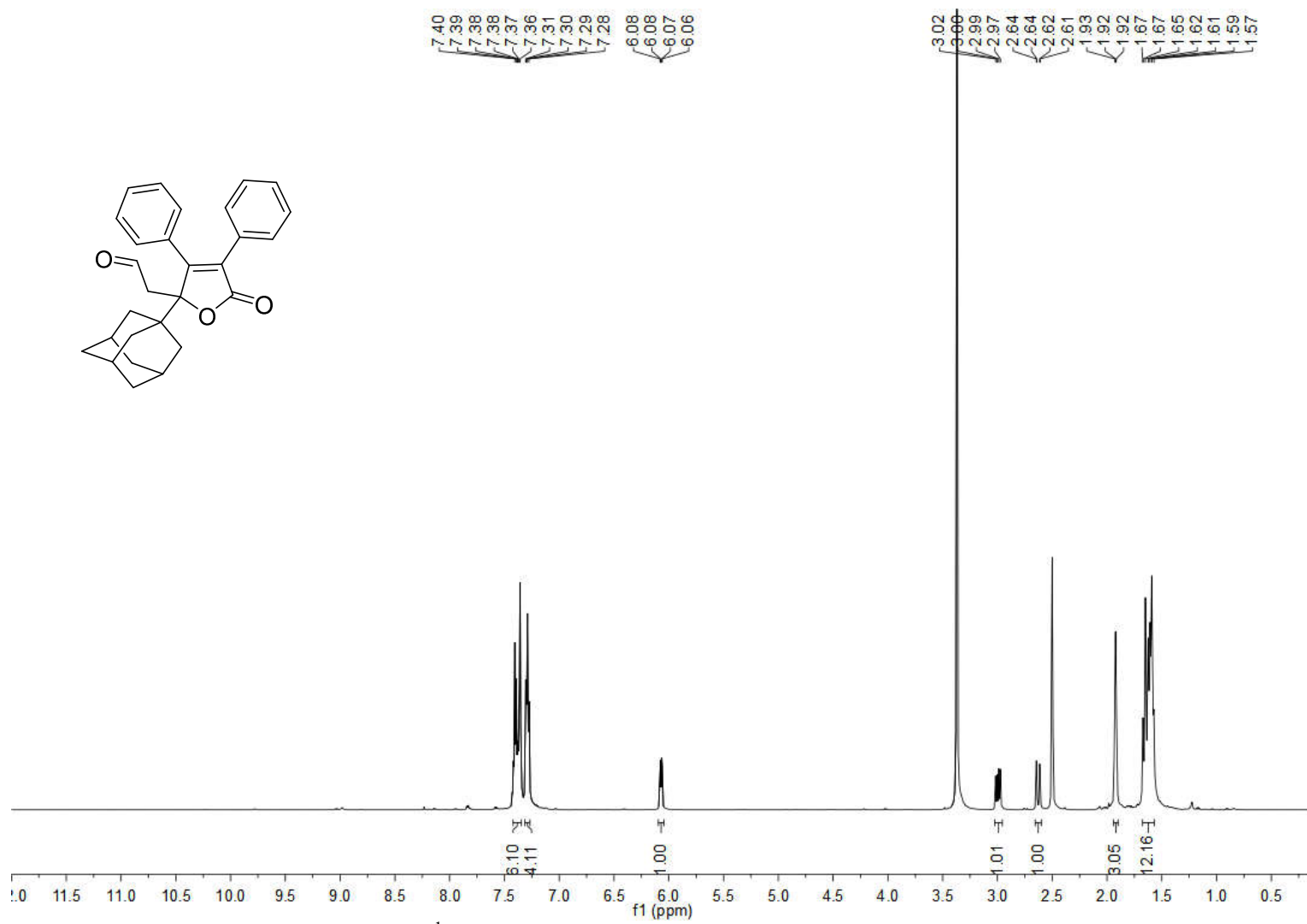


Figure S41.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **3r**



**Figure S42.** <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound **3s**

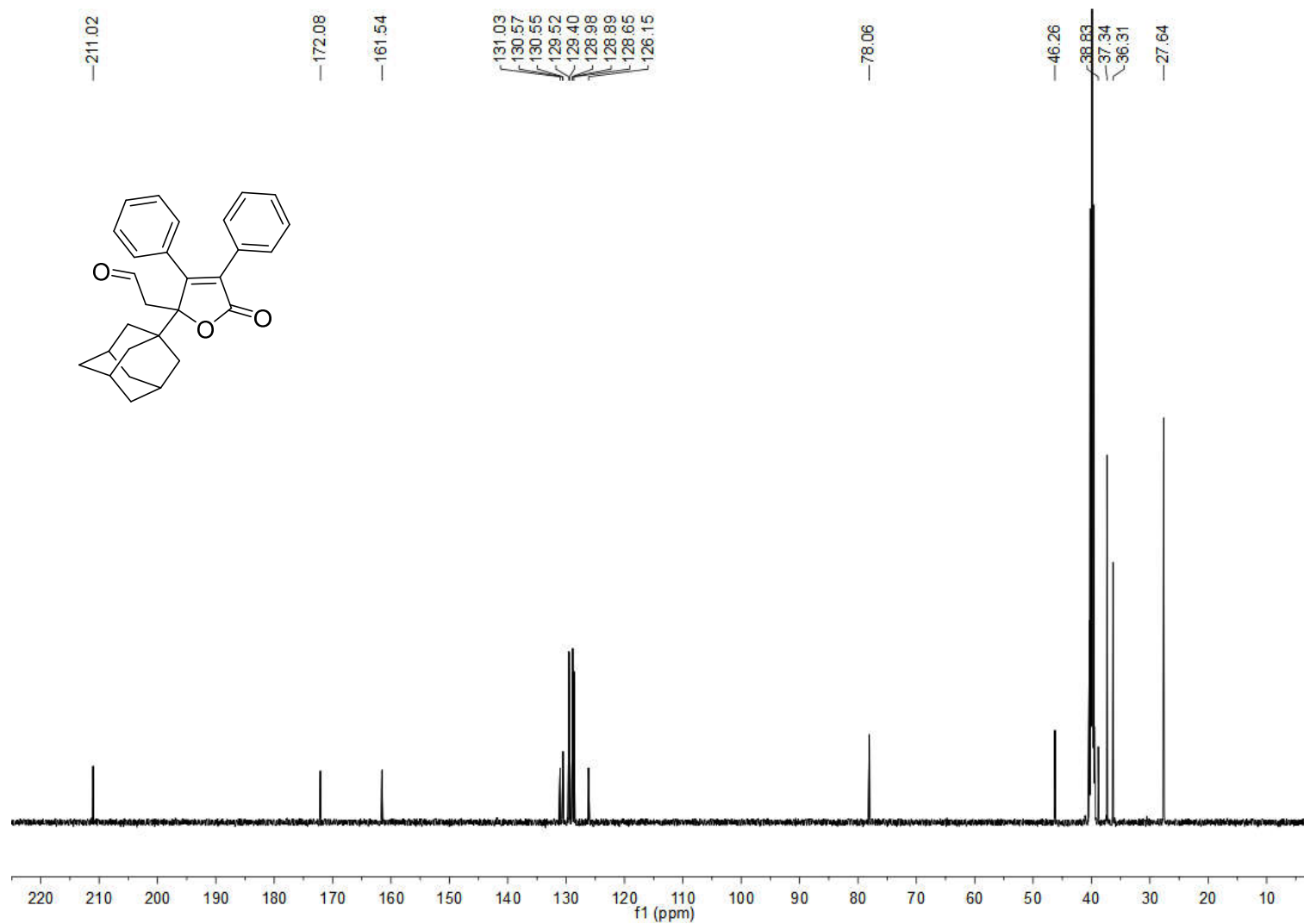


Figure S43.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3s



ZZL-169.1.fid

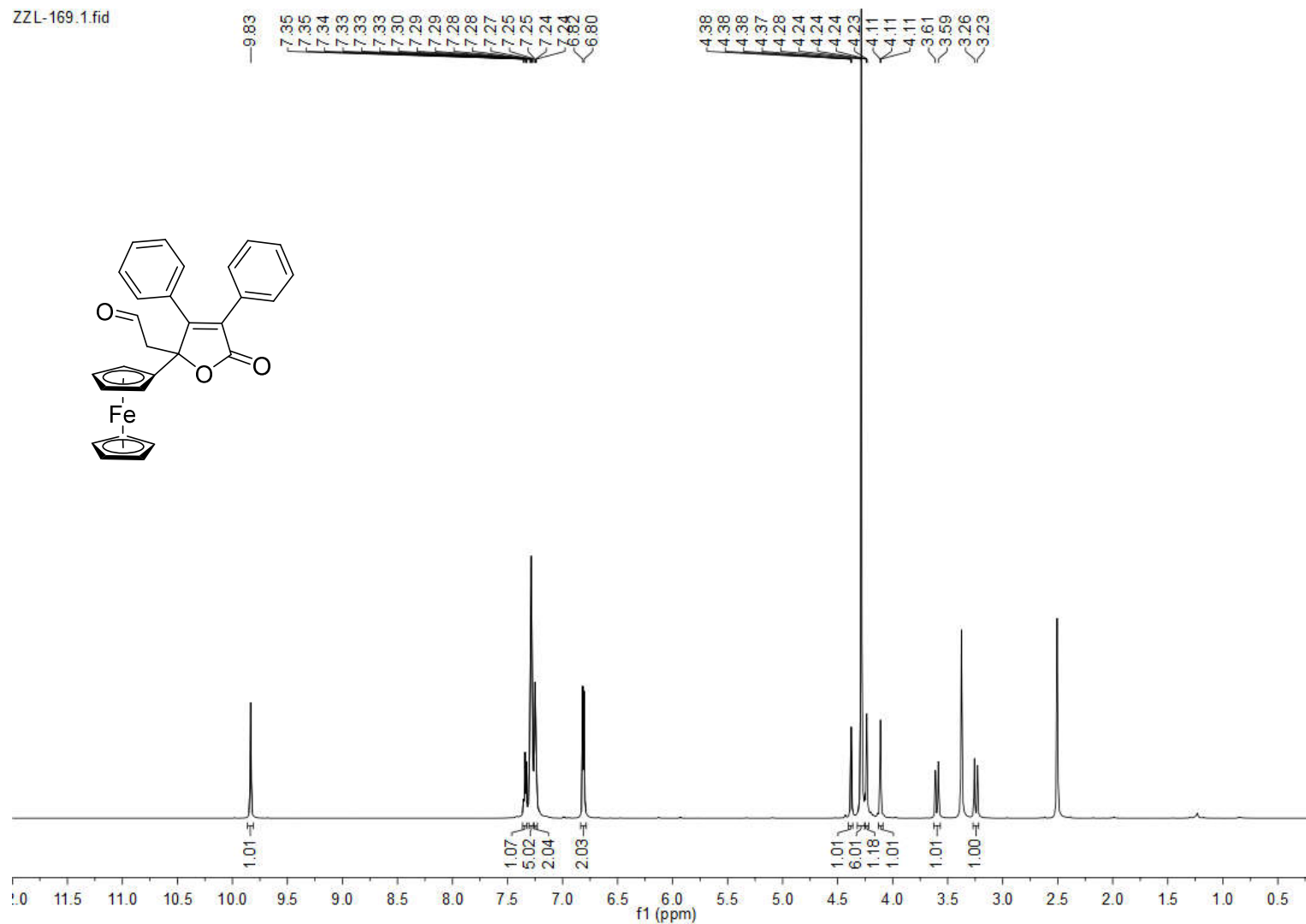
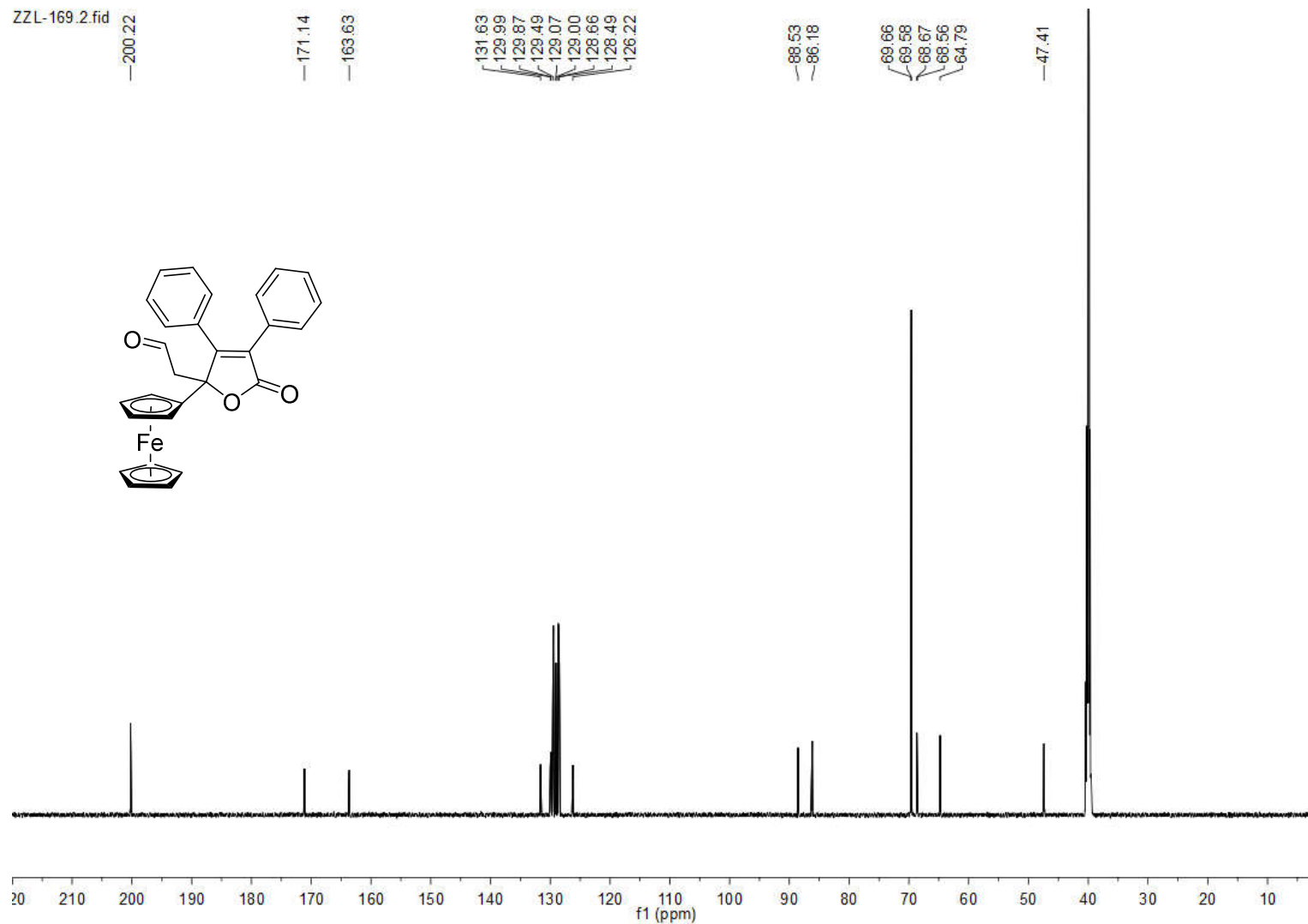
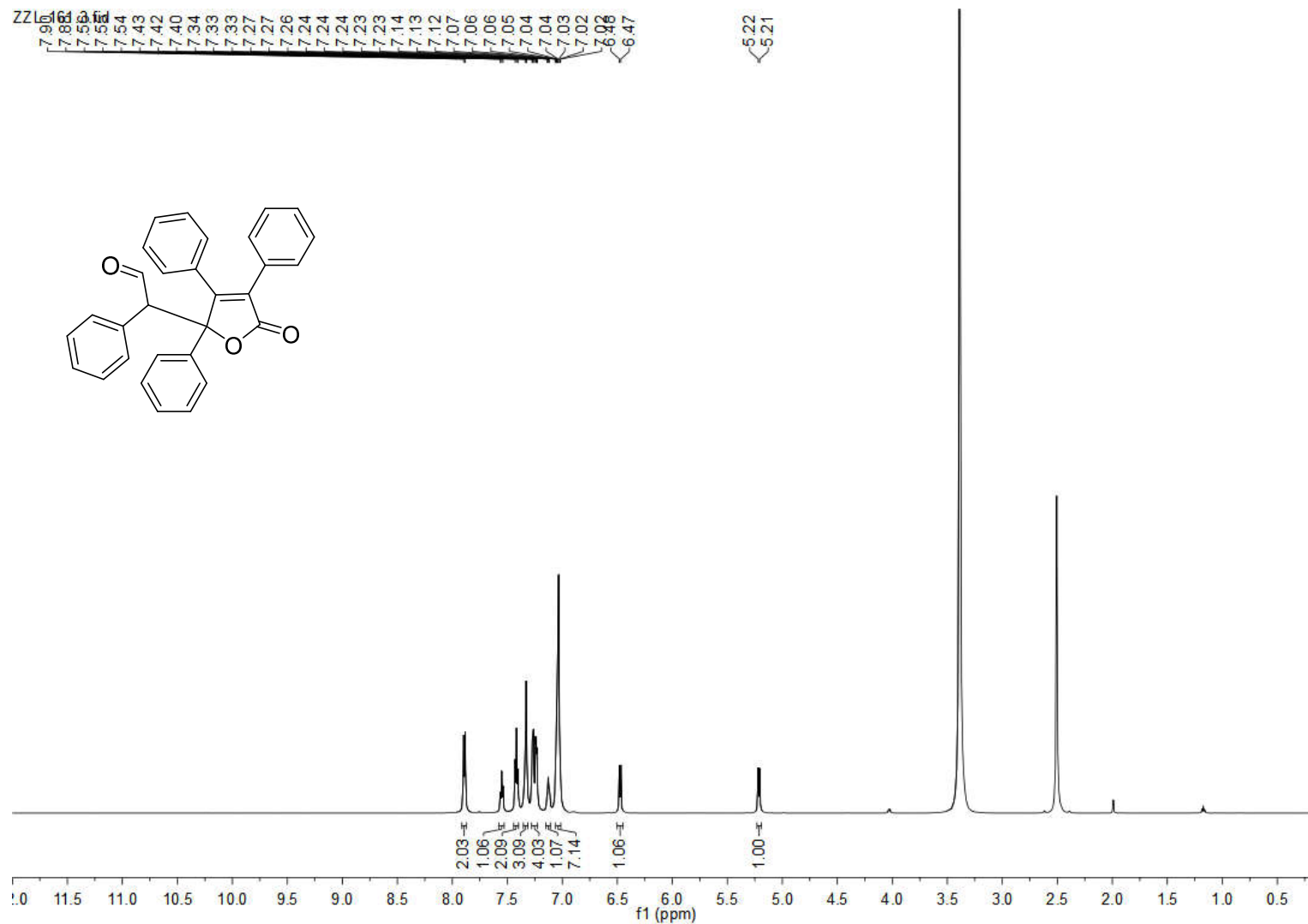


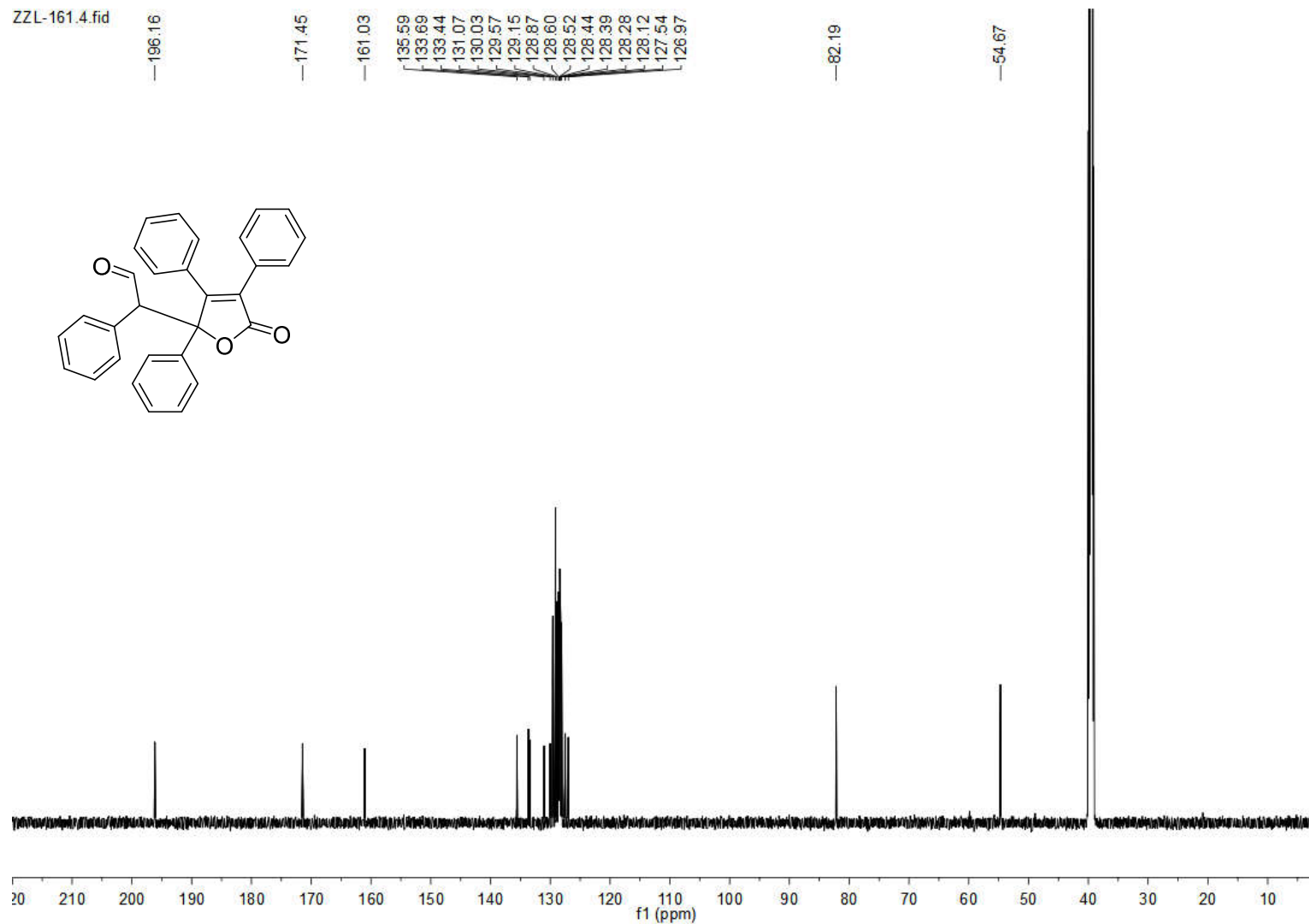
Figure S44.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3t



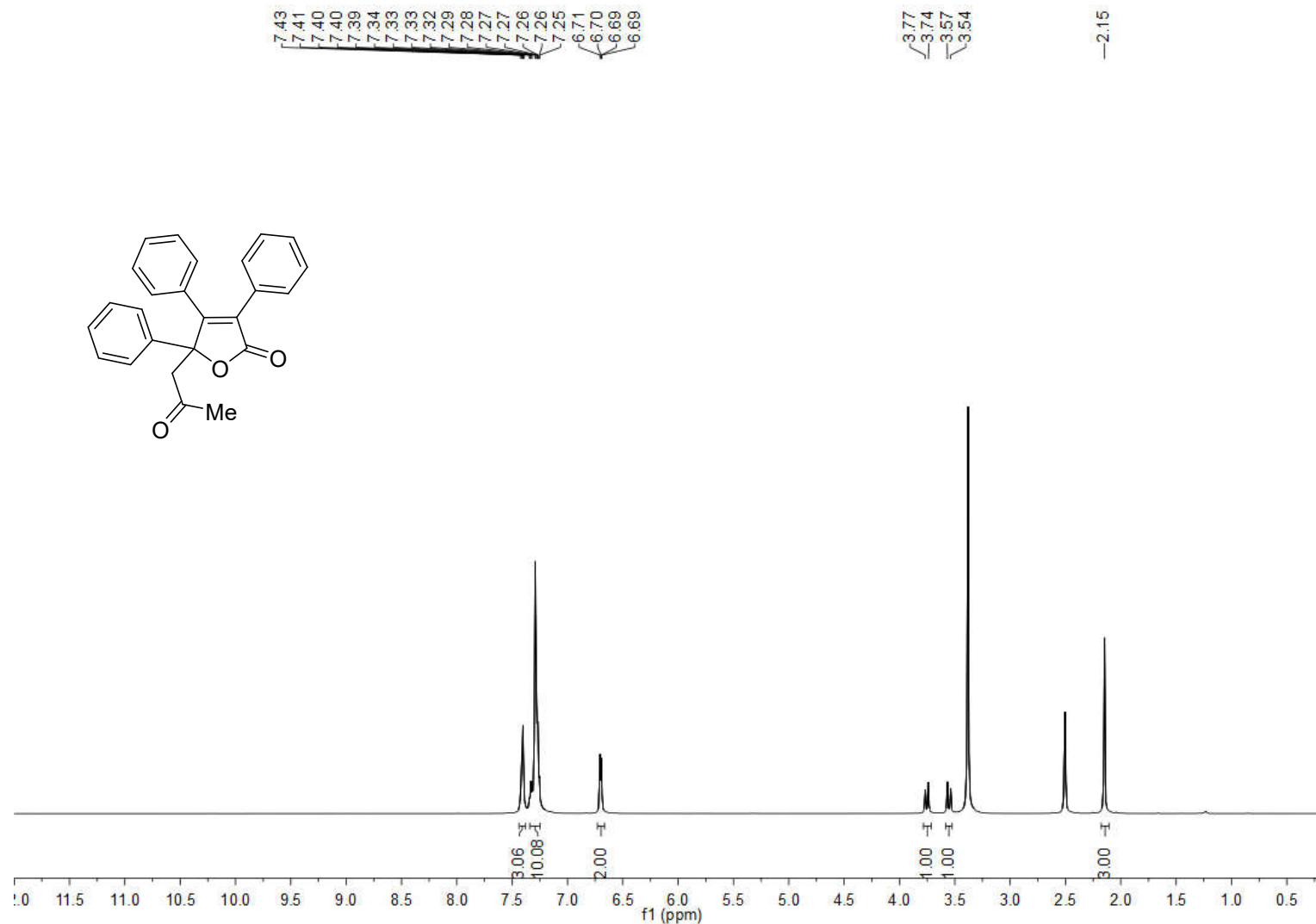
**Figure S45.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3t**



**Figure S46.**  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3u**



**Figure S47.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3u**



**Figure S48.** <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3v

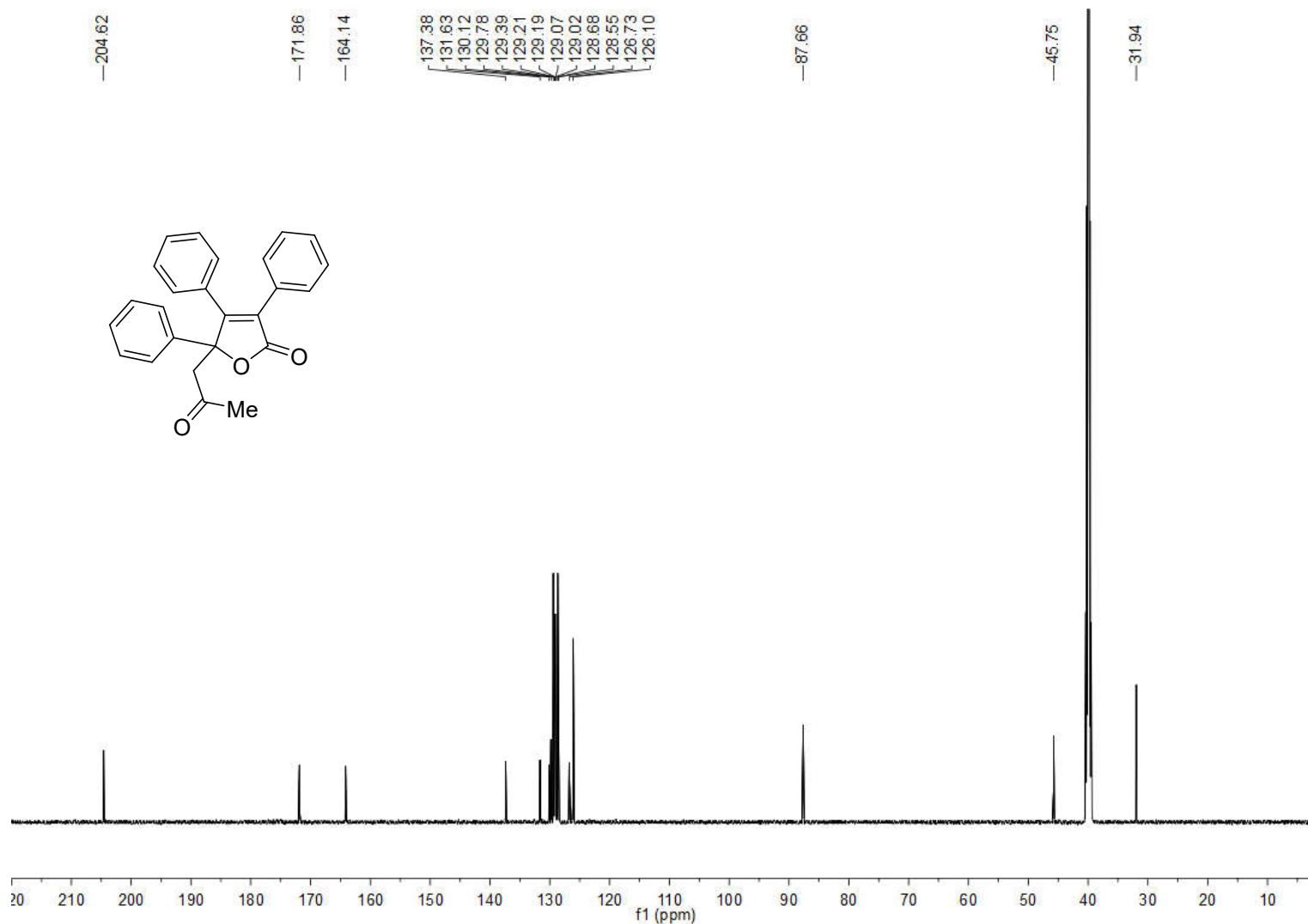


Figure S49.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3v

ZZL-186.1.fid

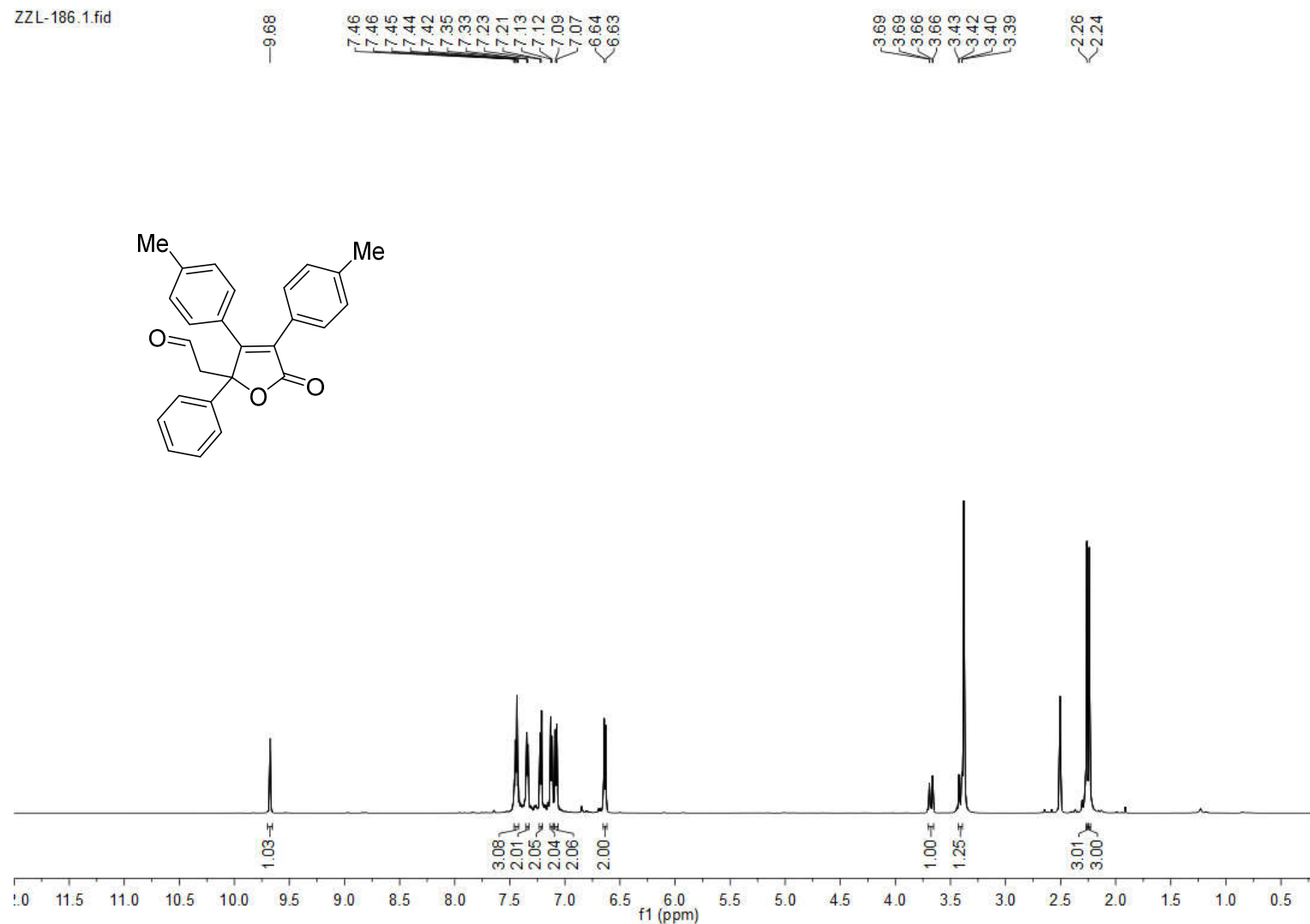


Figure S50. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3w

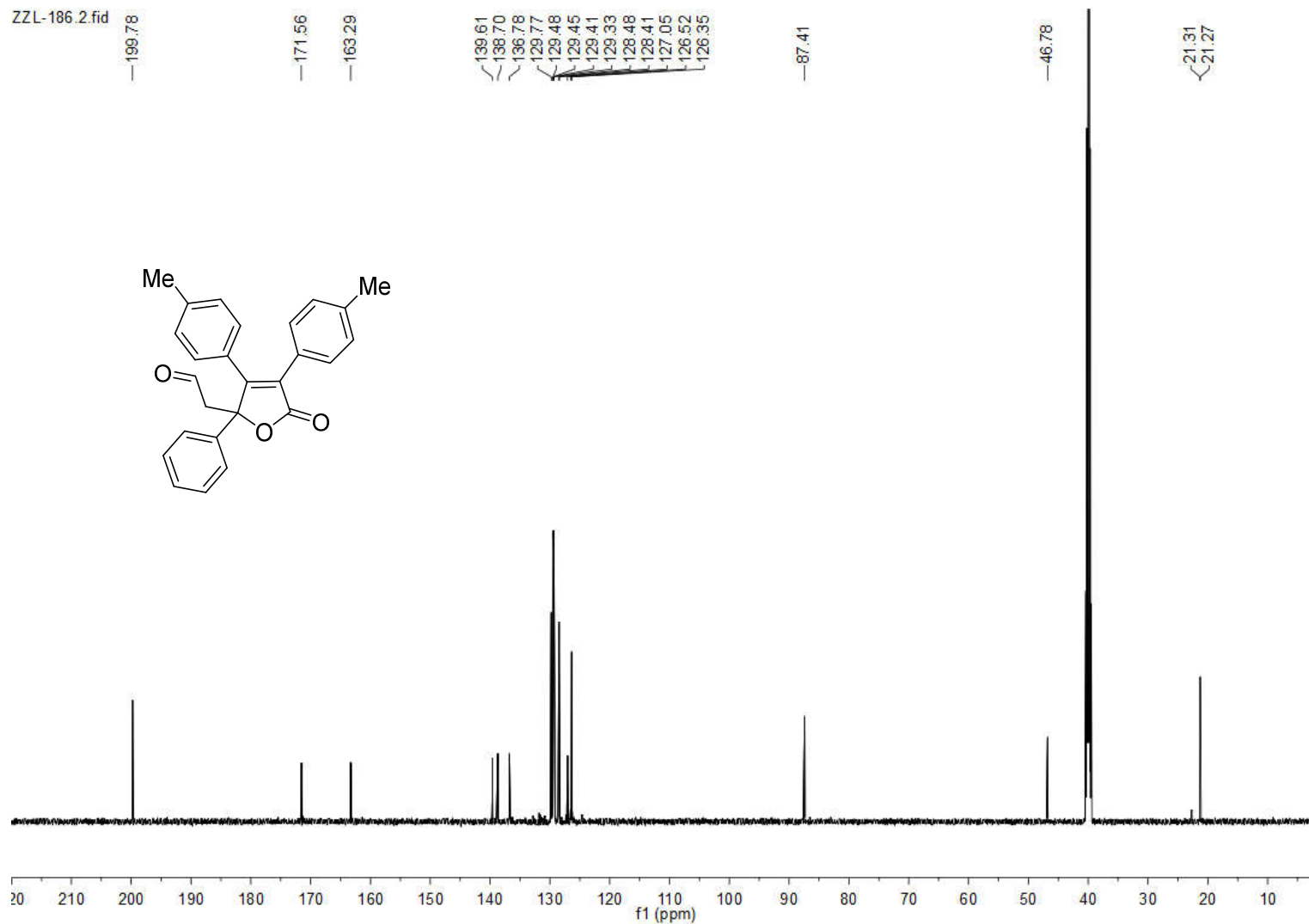


Figure S51.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3w**



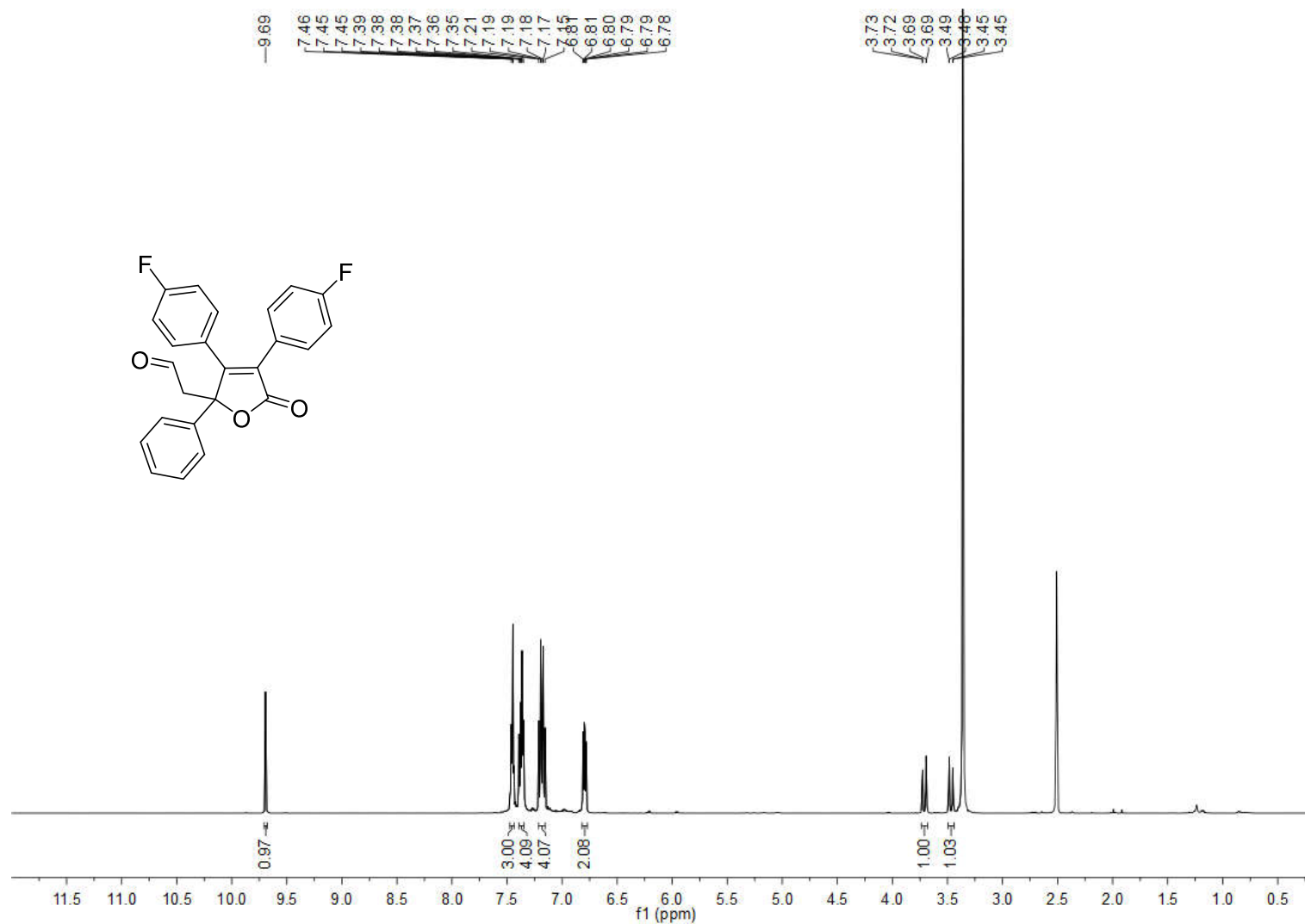


Figure S52. <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3x

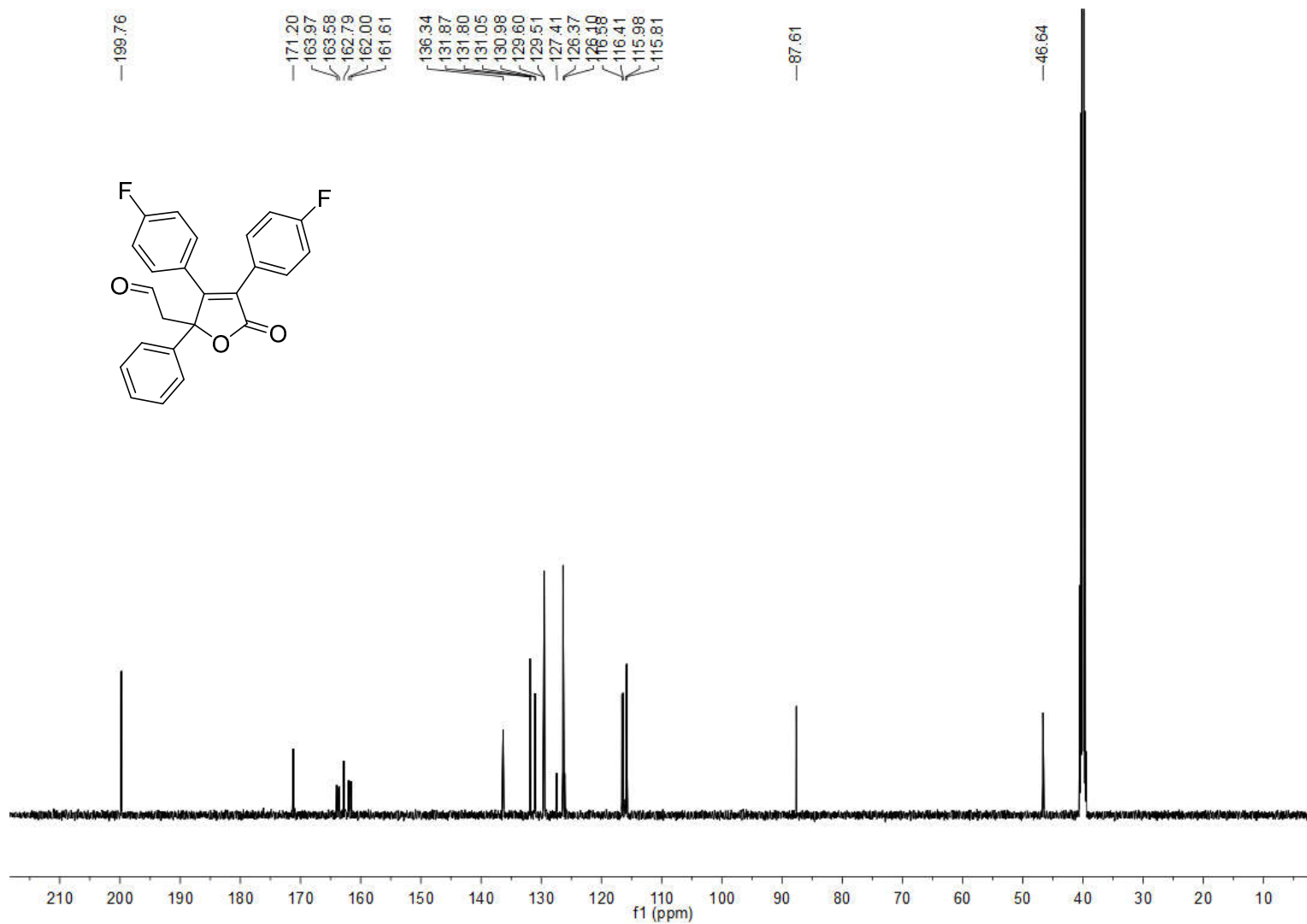
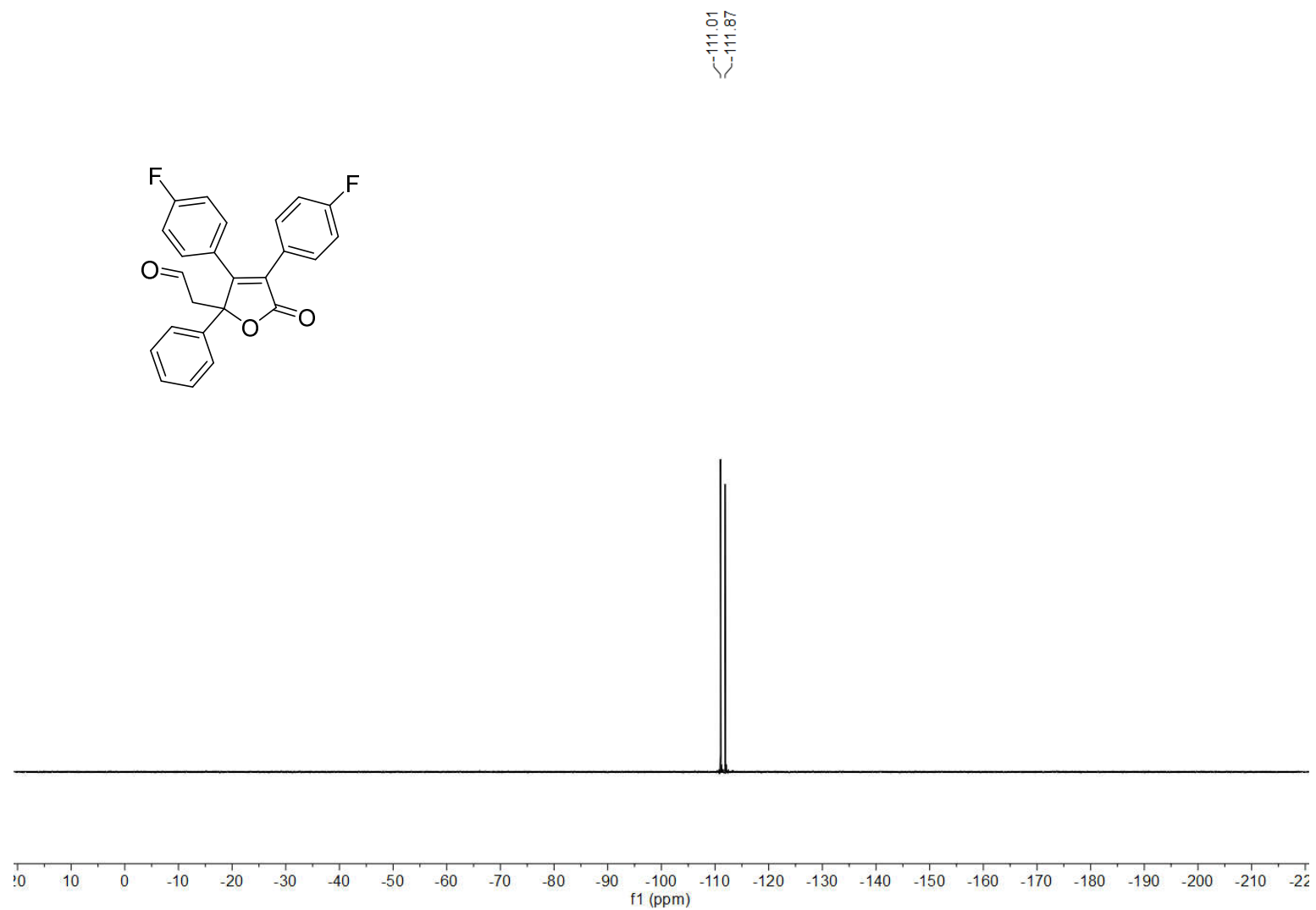


Figure S53. <sup>13</sup>C NMR (125 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3x



**Figure S54.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3x**

ZZL-182.1.fid

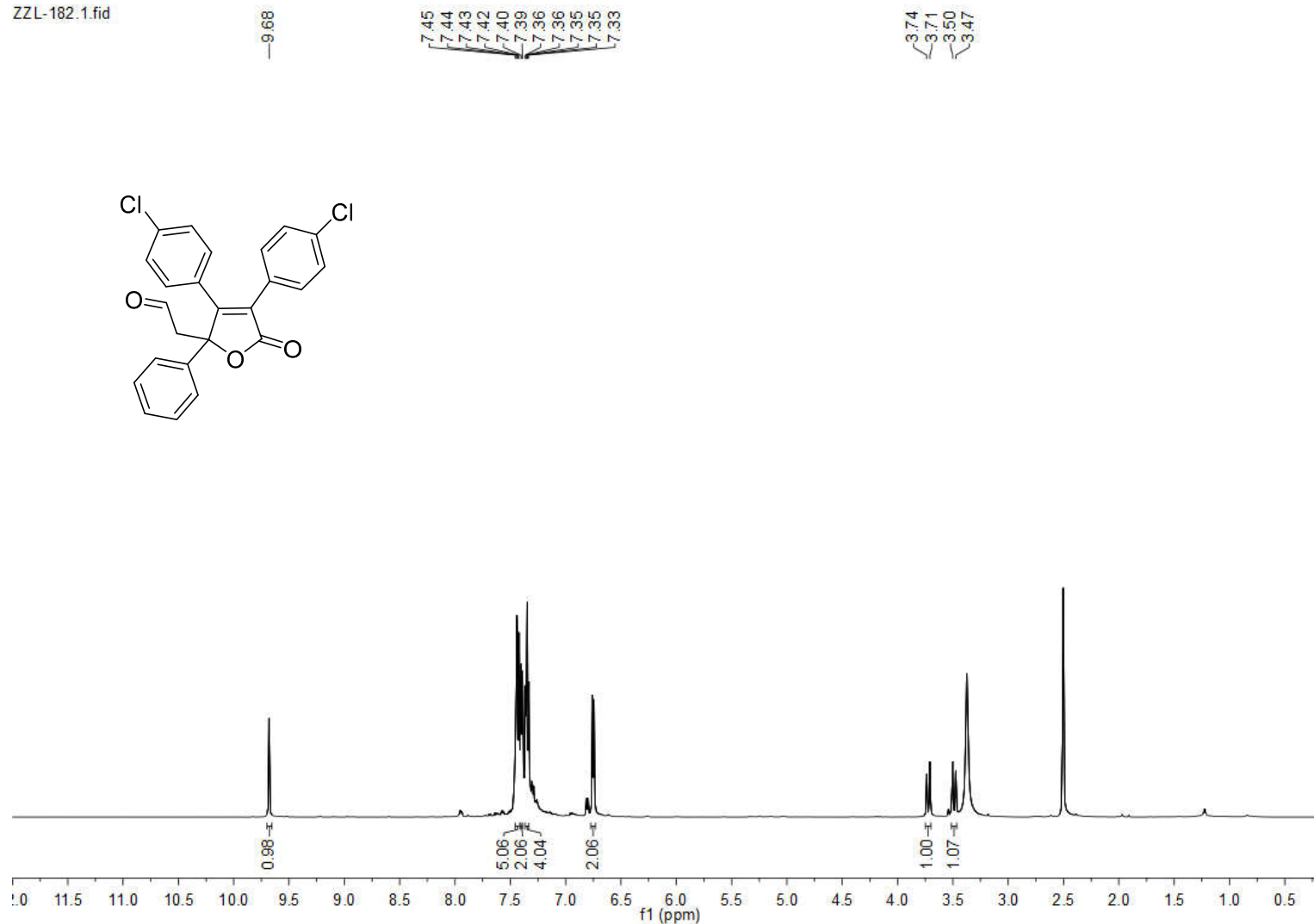


Figure S55. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 3y

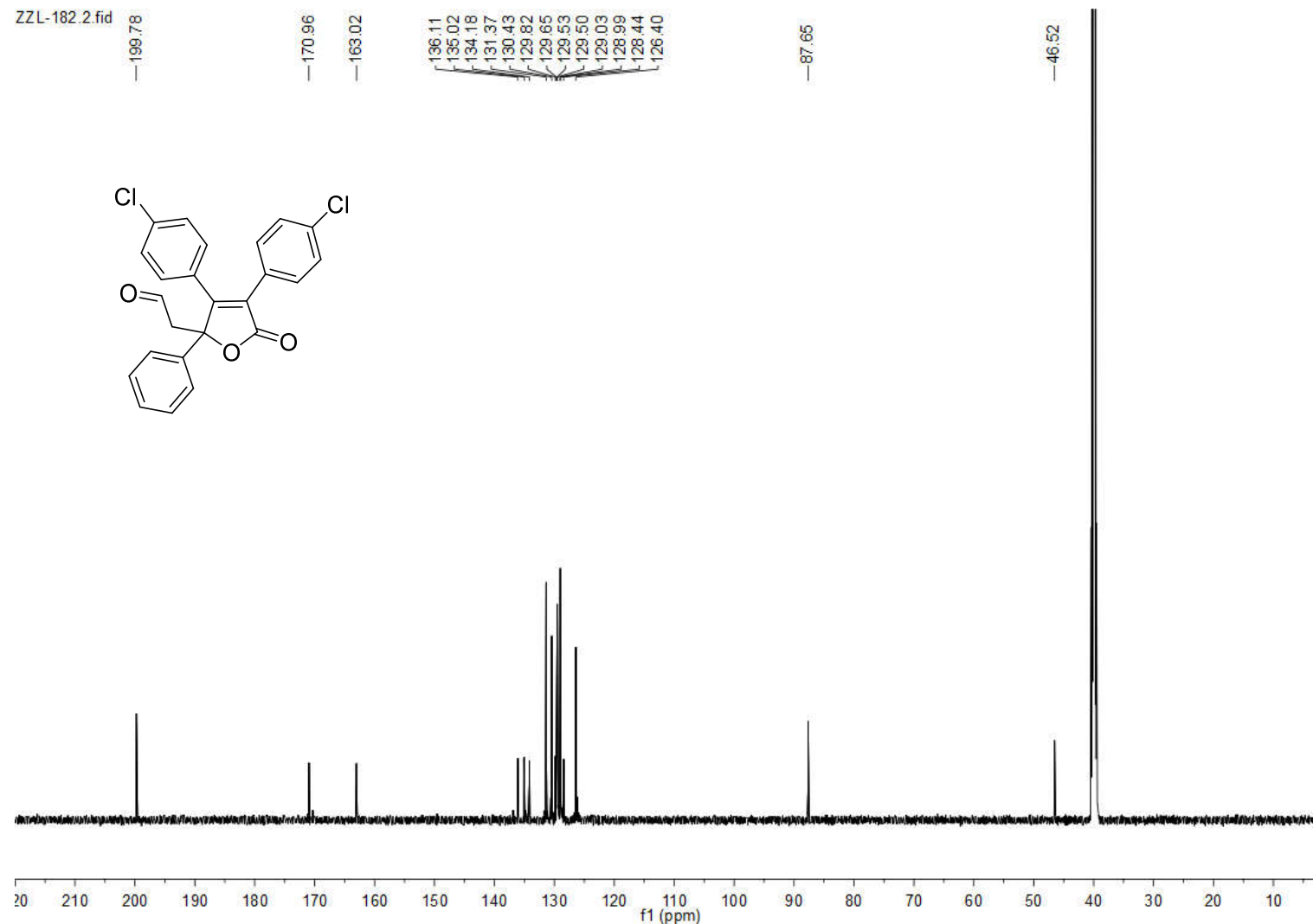


Figure S56.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3y**

ZZL-189.3.fid

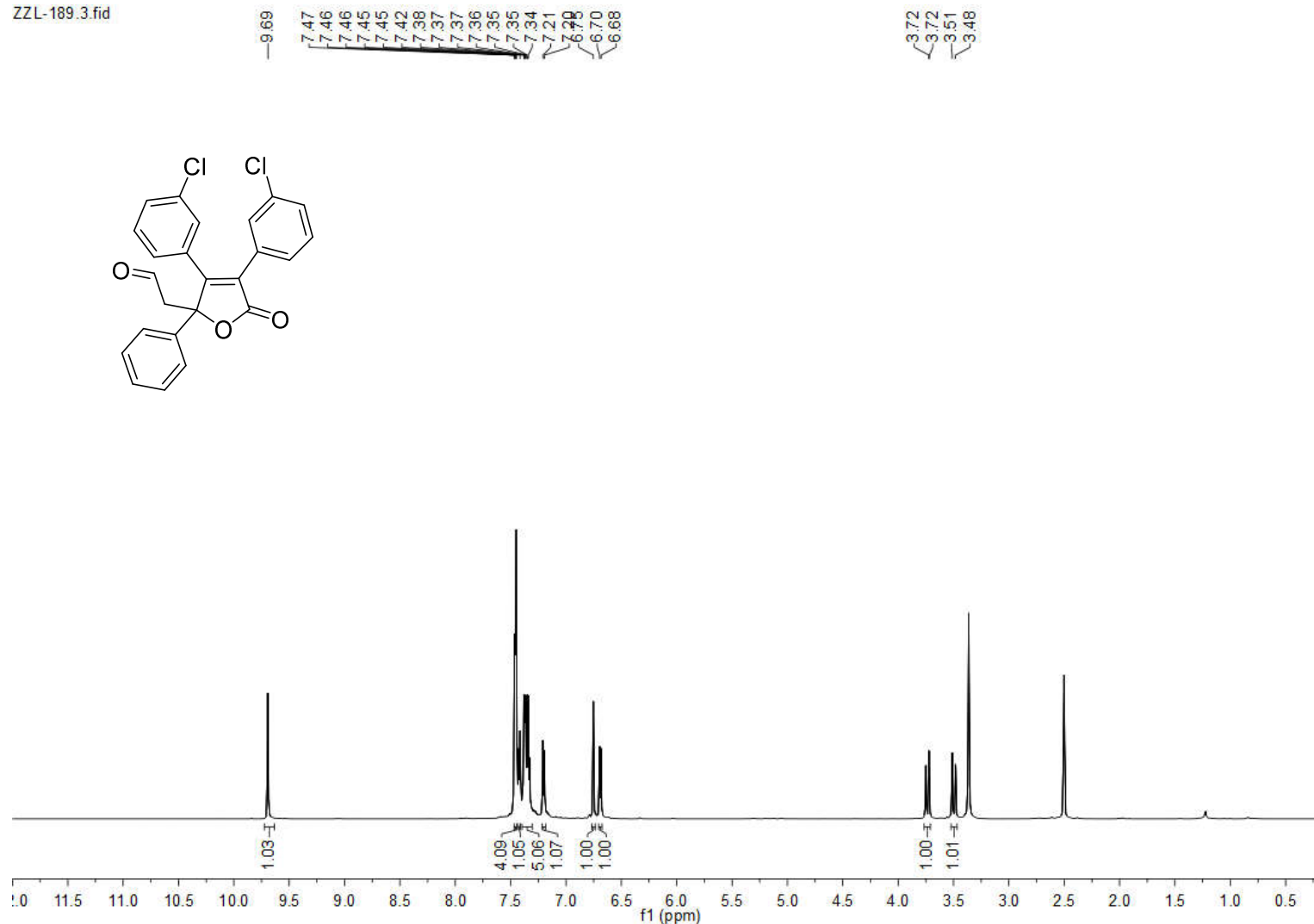
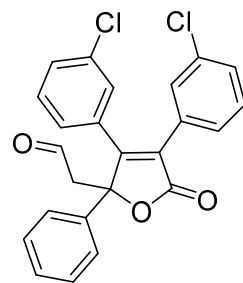


Figure S57.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 3z

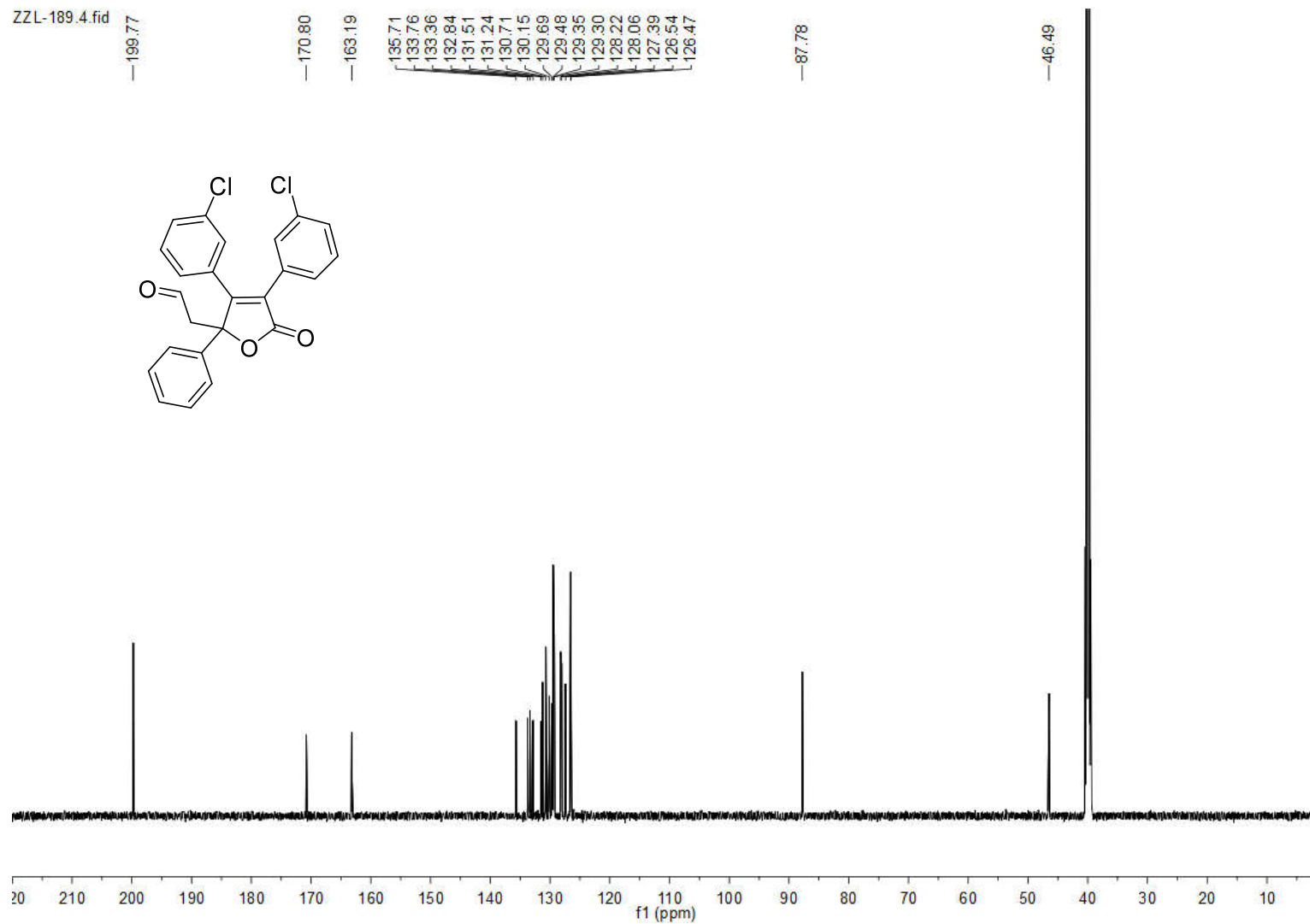


Figure S58.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO}-d_6$ ) spectra of compound 3z

ZZL-195.1.fid

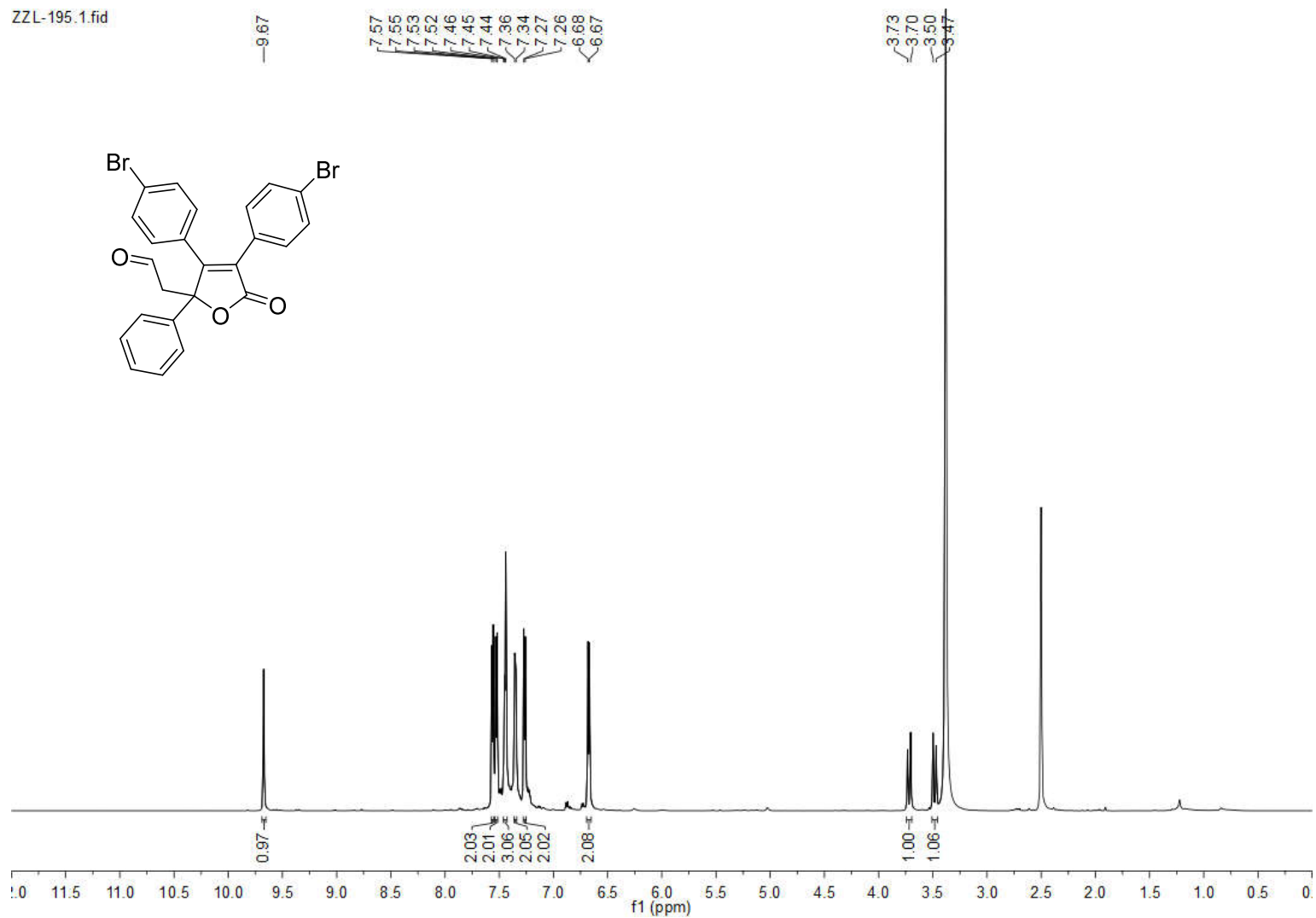


Figure S59. <sup>1</sup>H NMR (600 MHz, DMSO-d<sub>6</sub>) spectra of compound 3a'



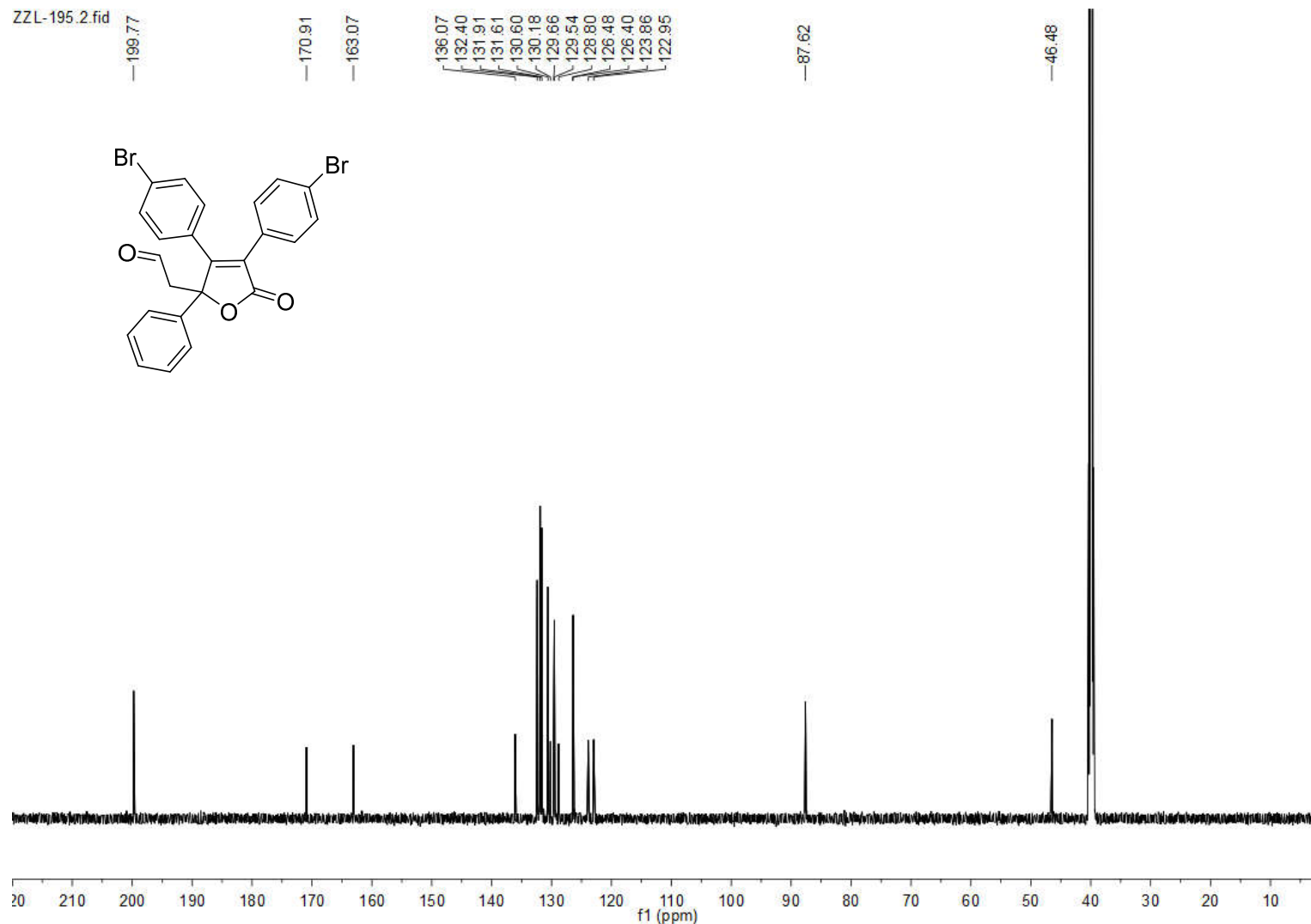


Figure S60.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO}-d_6$ ) spectra of compound **3a'**

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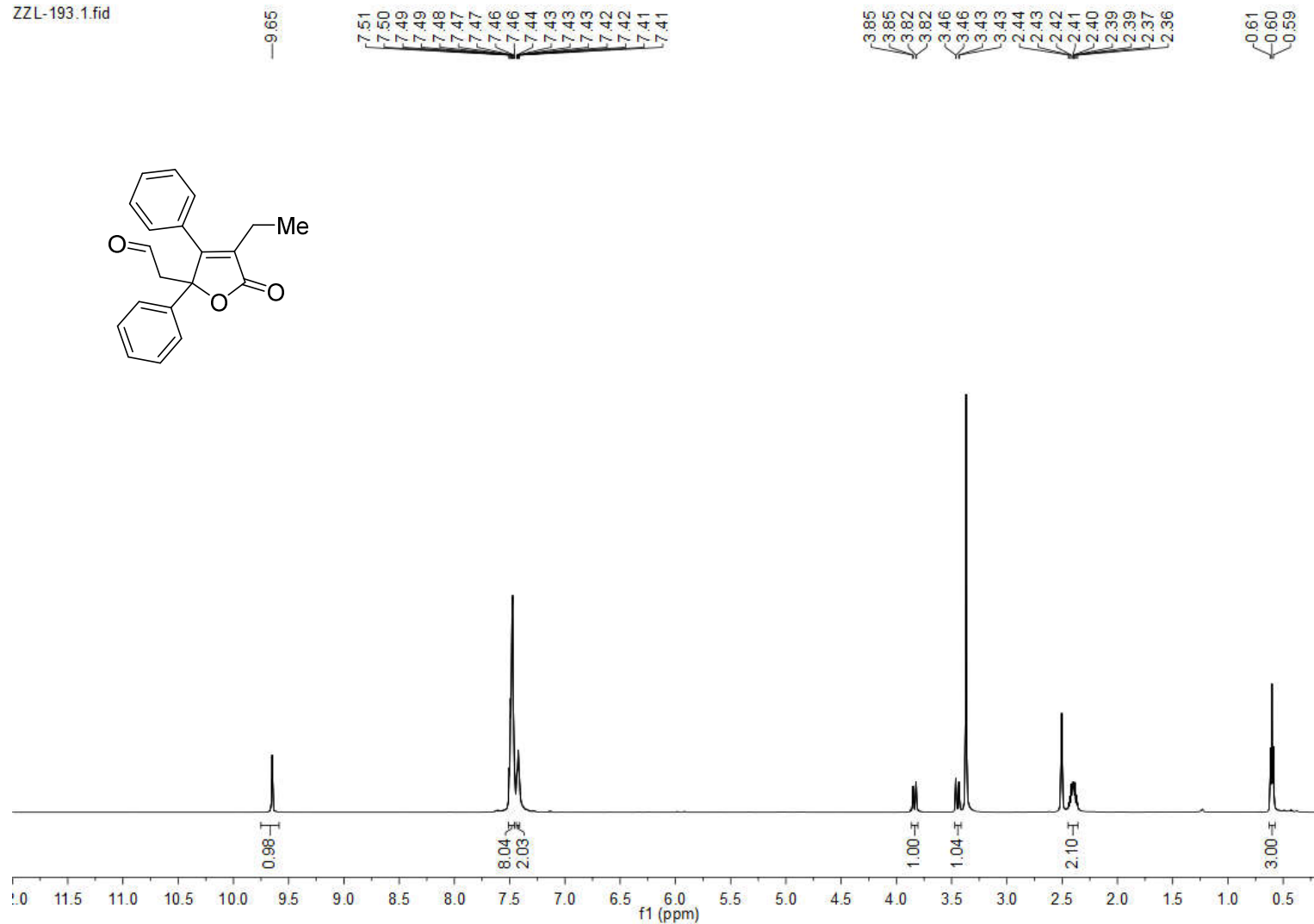
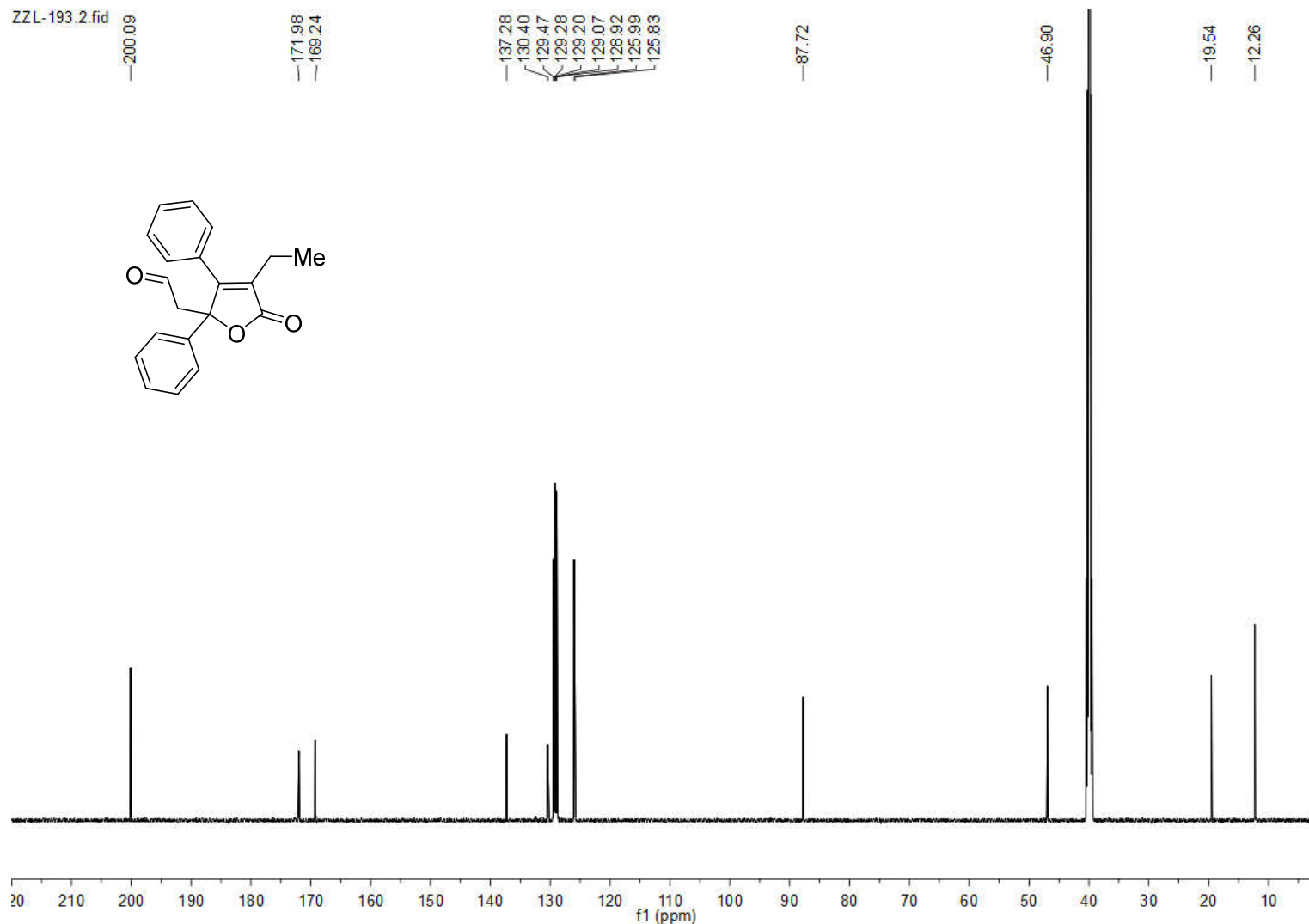


Figure S61.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3b'**



**Figure S62.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **3b'**

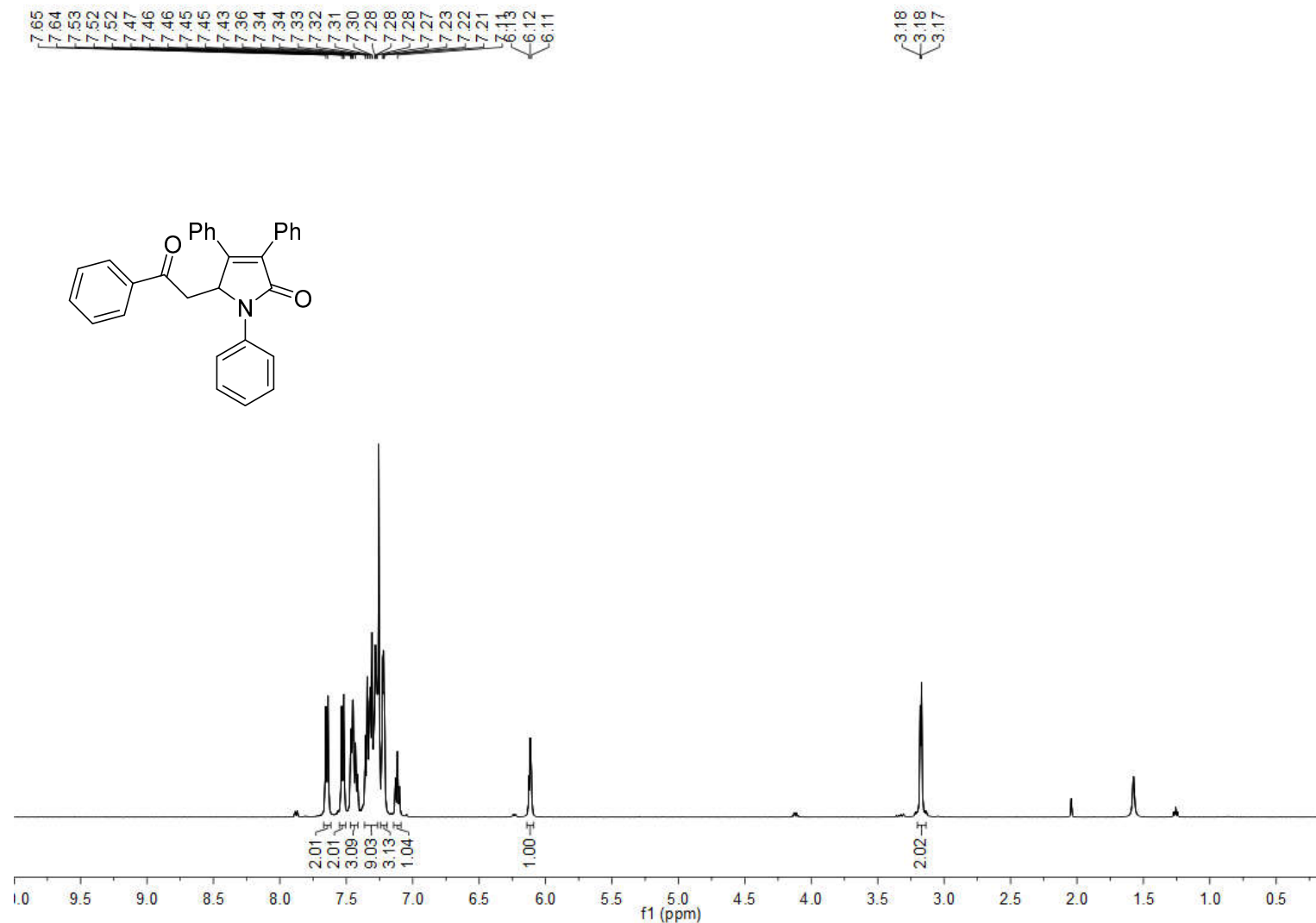


Figure S63. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound 5a

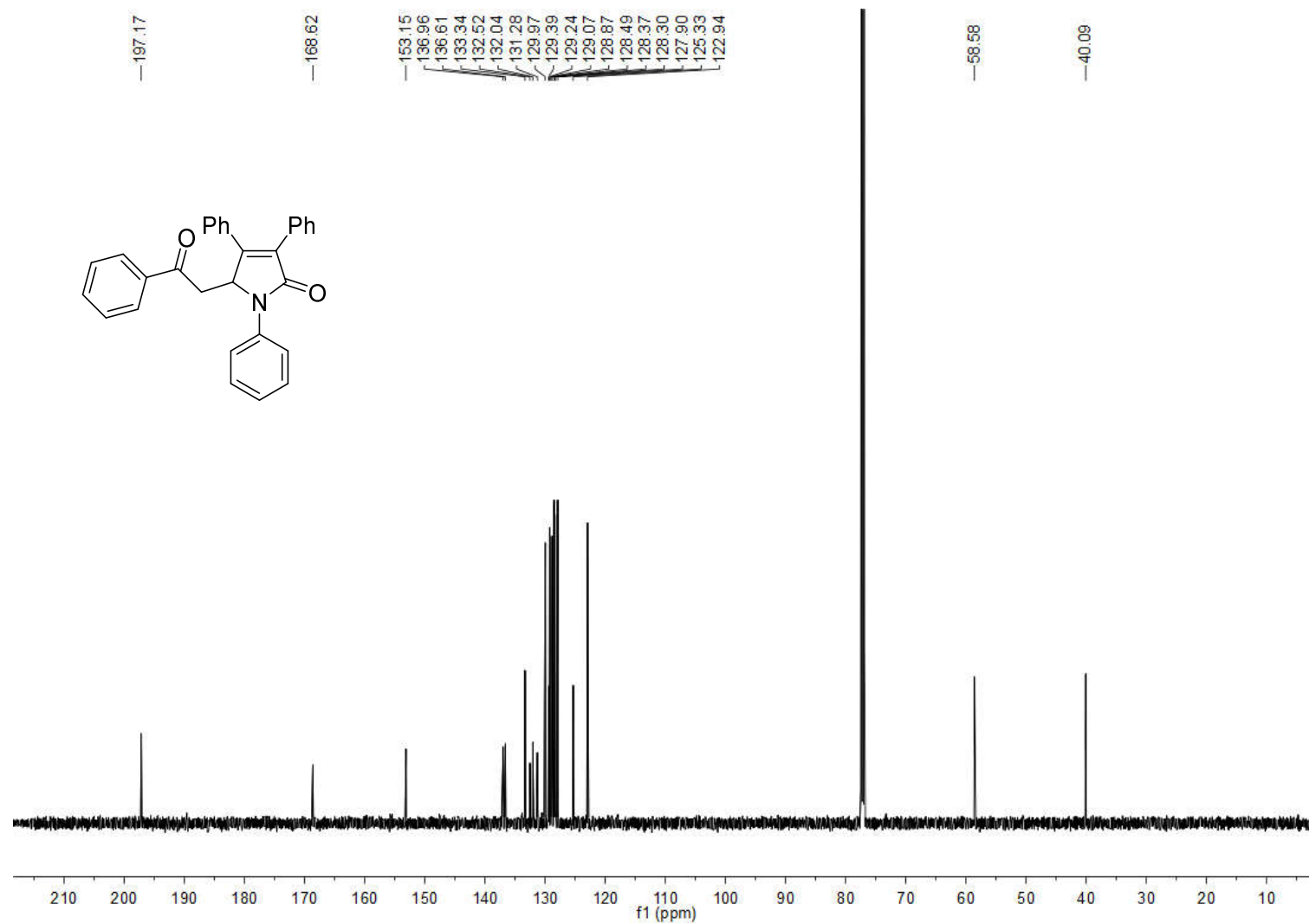
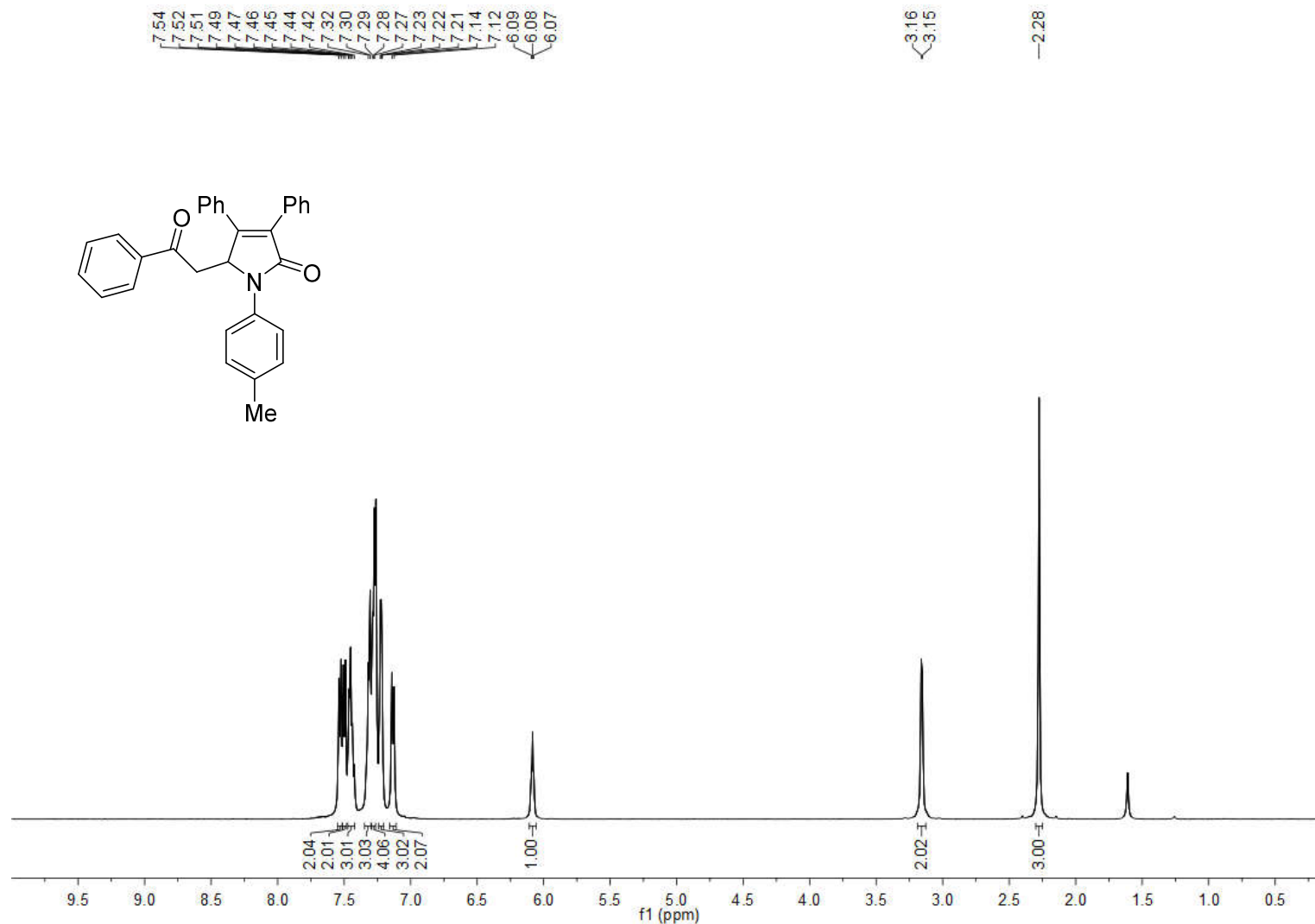


Figure S64. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5a



**Figure S65.**  $^1\text{H NMR}$  (500 MHz,  $\text{CDCl}_3$ ) spectra of compound **5b**

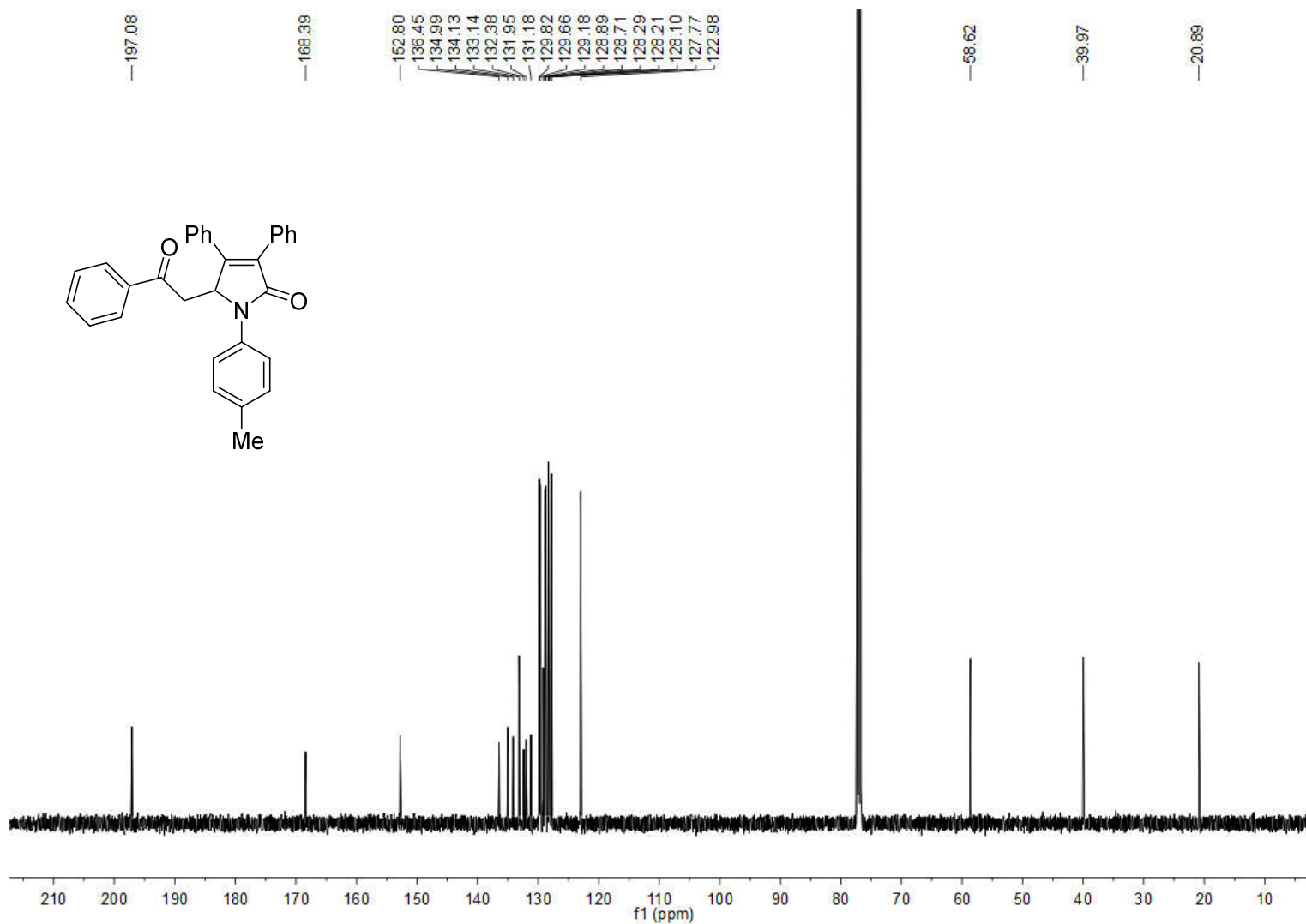
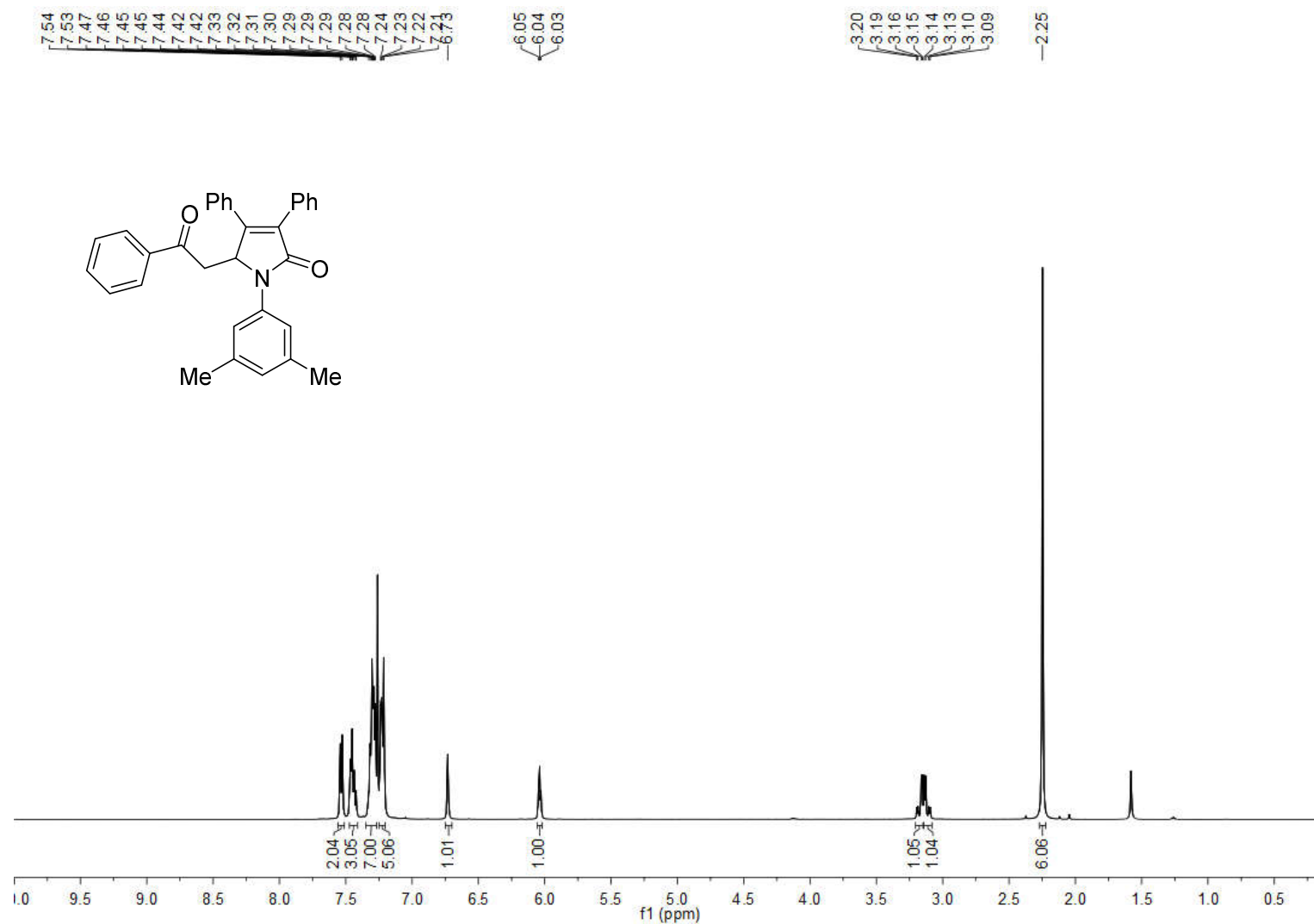


Figure S66. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound **5b**



**Figure S67.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5c**



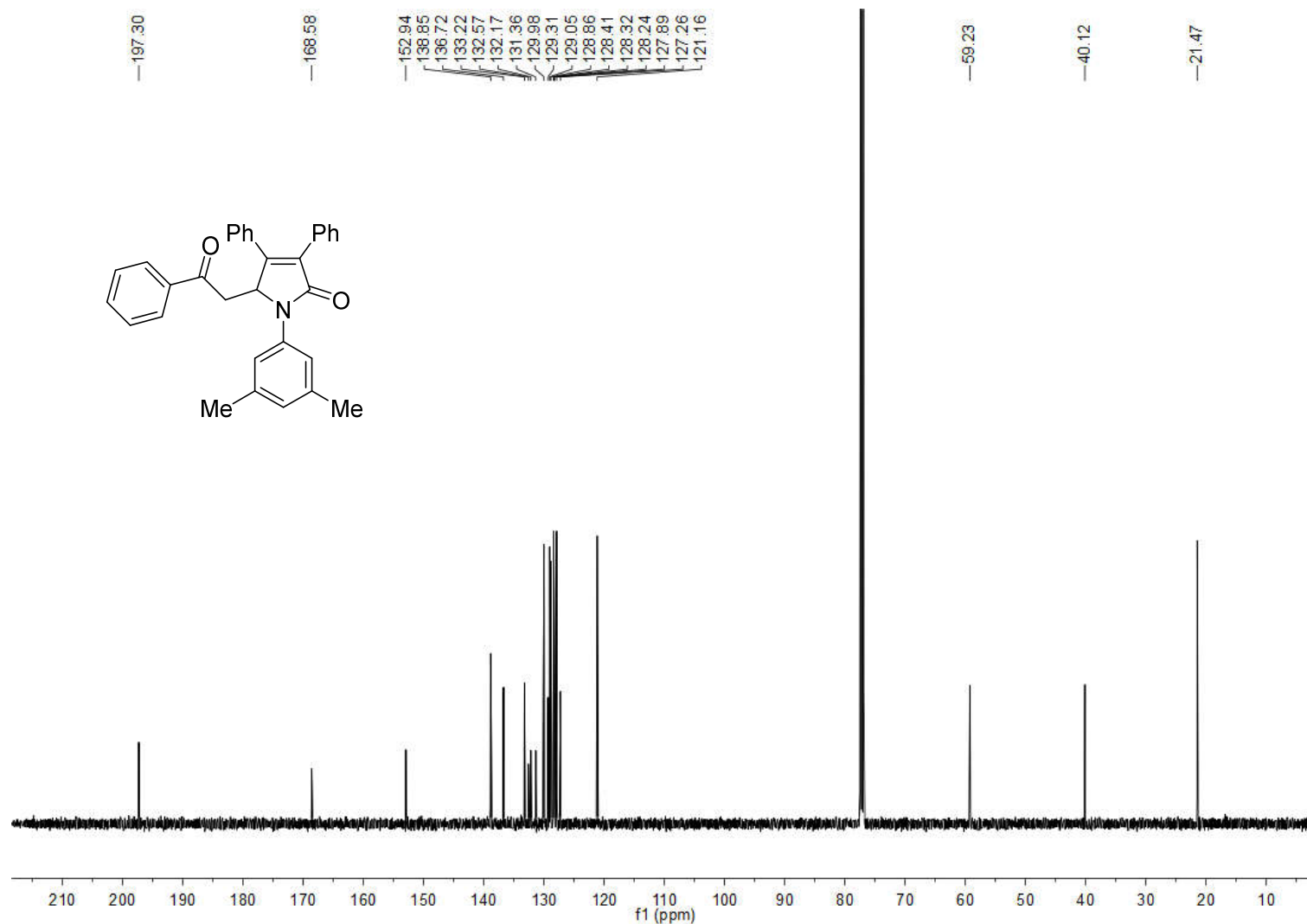
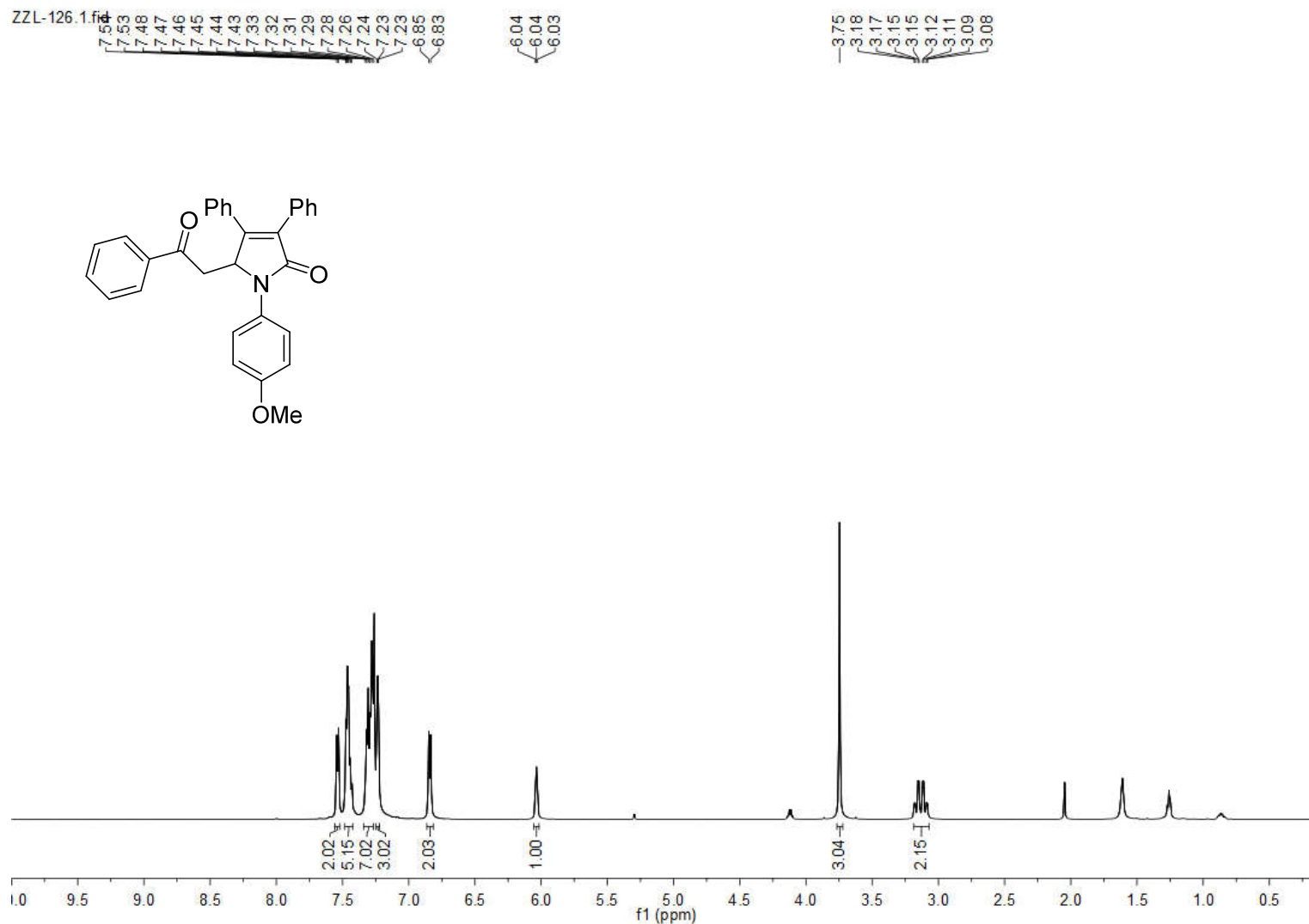


Figure S68.  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound 5c



**Figure S69.**  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound **5d**

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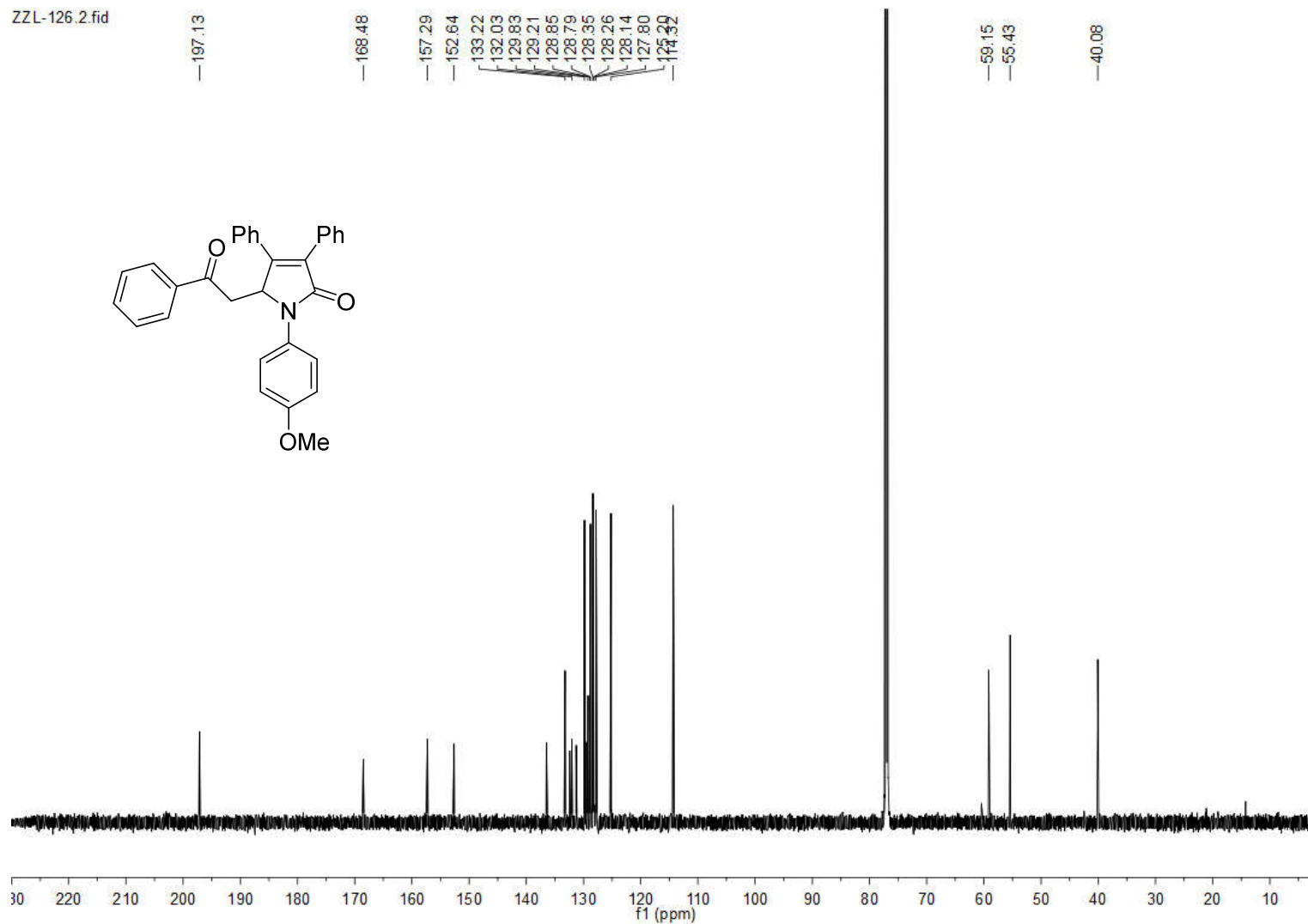


Figure S70.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound 5d

ZZL-124.1.fid

7.68  
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3.14  
3.13

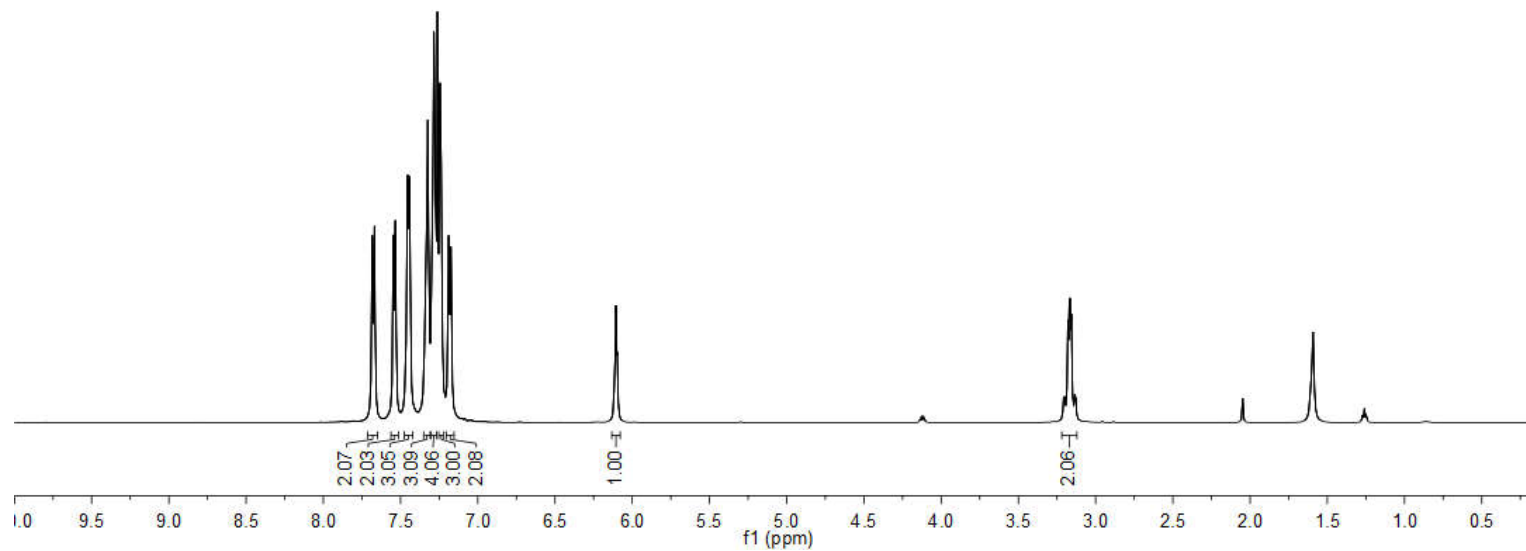
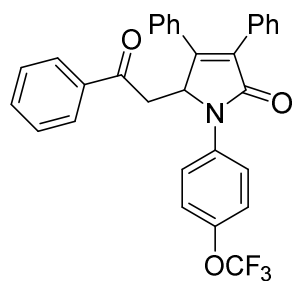


Figure S71. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 5e

ZZL-124.2.fid

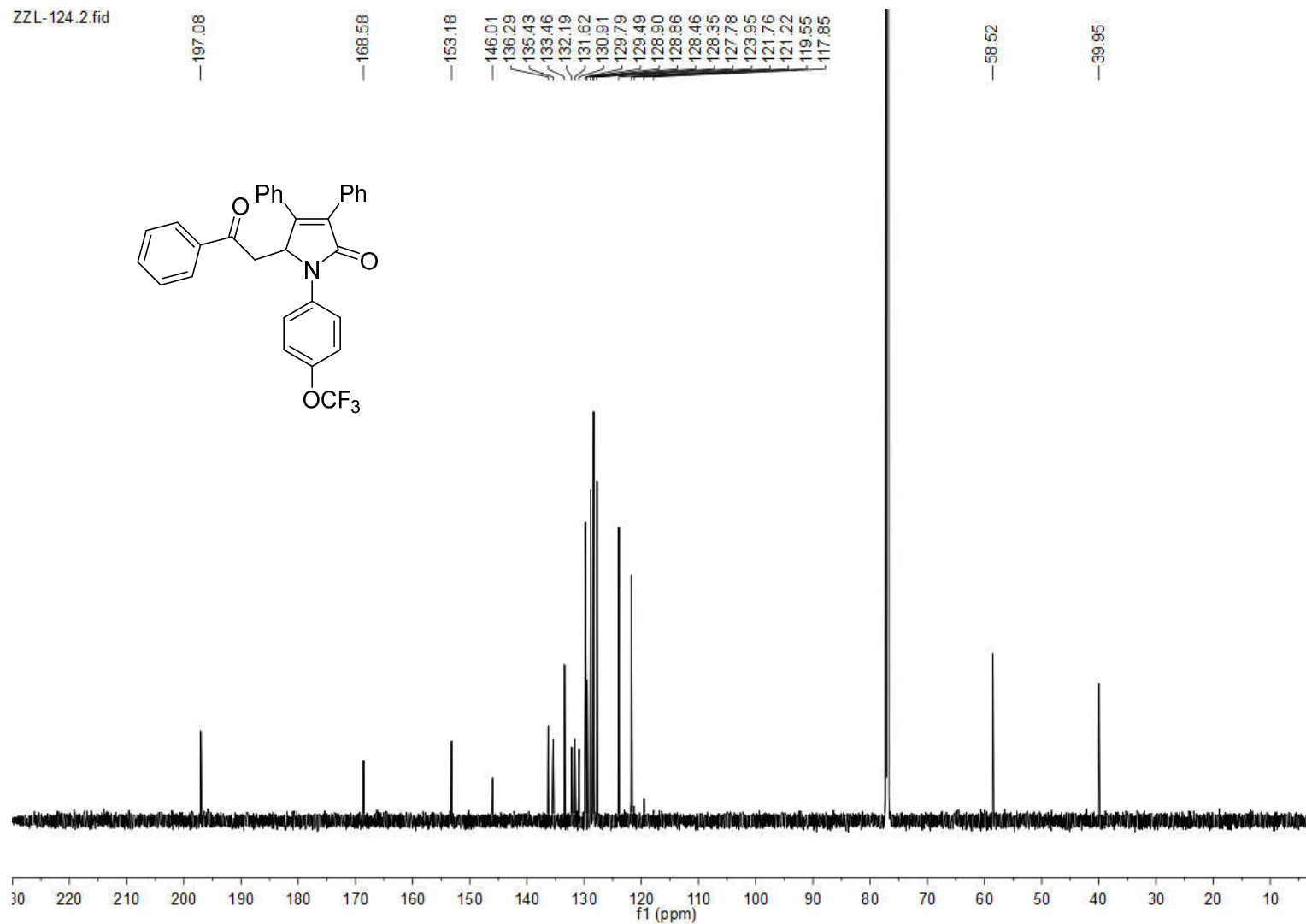
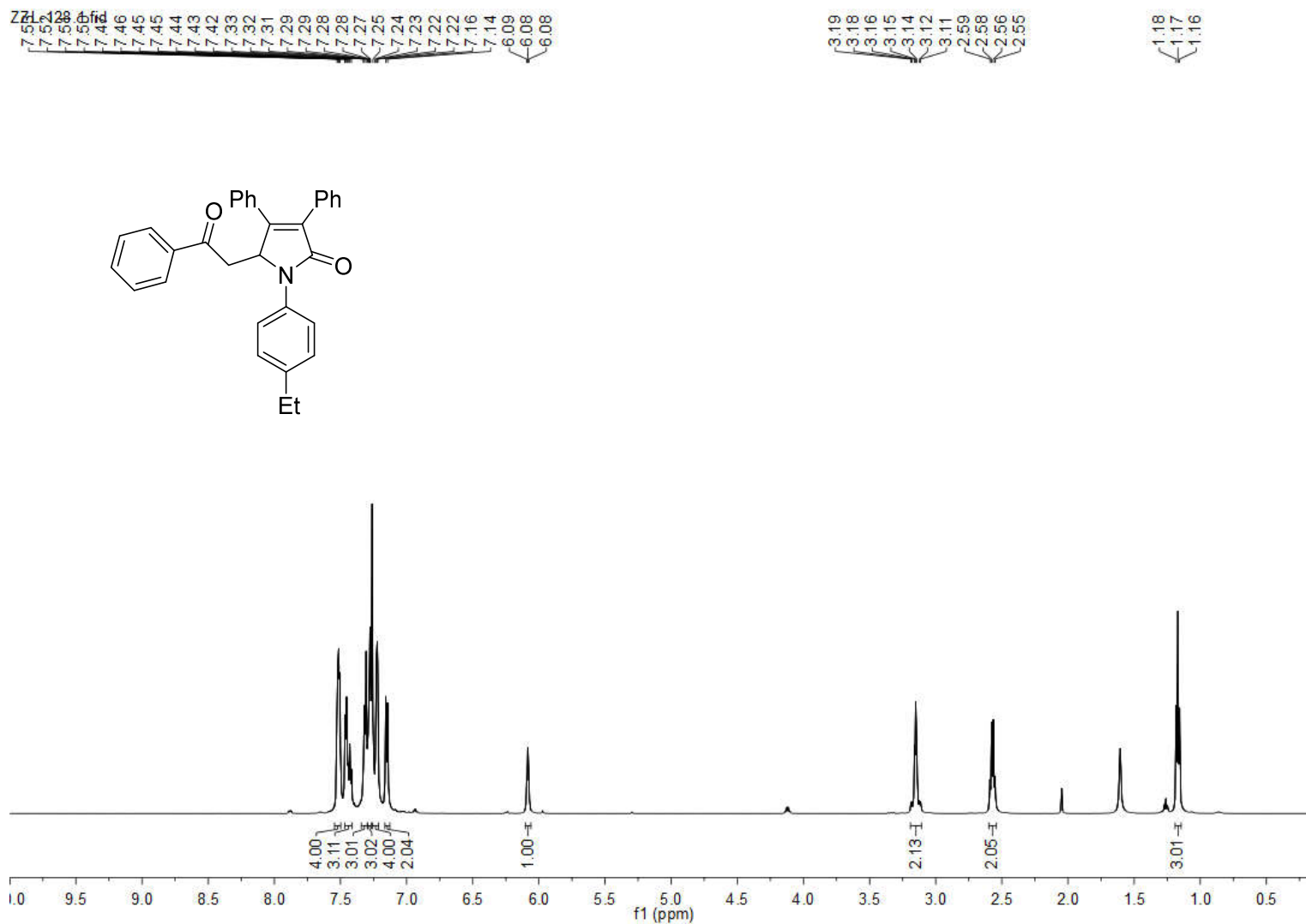


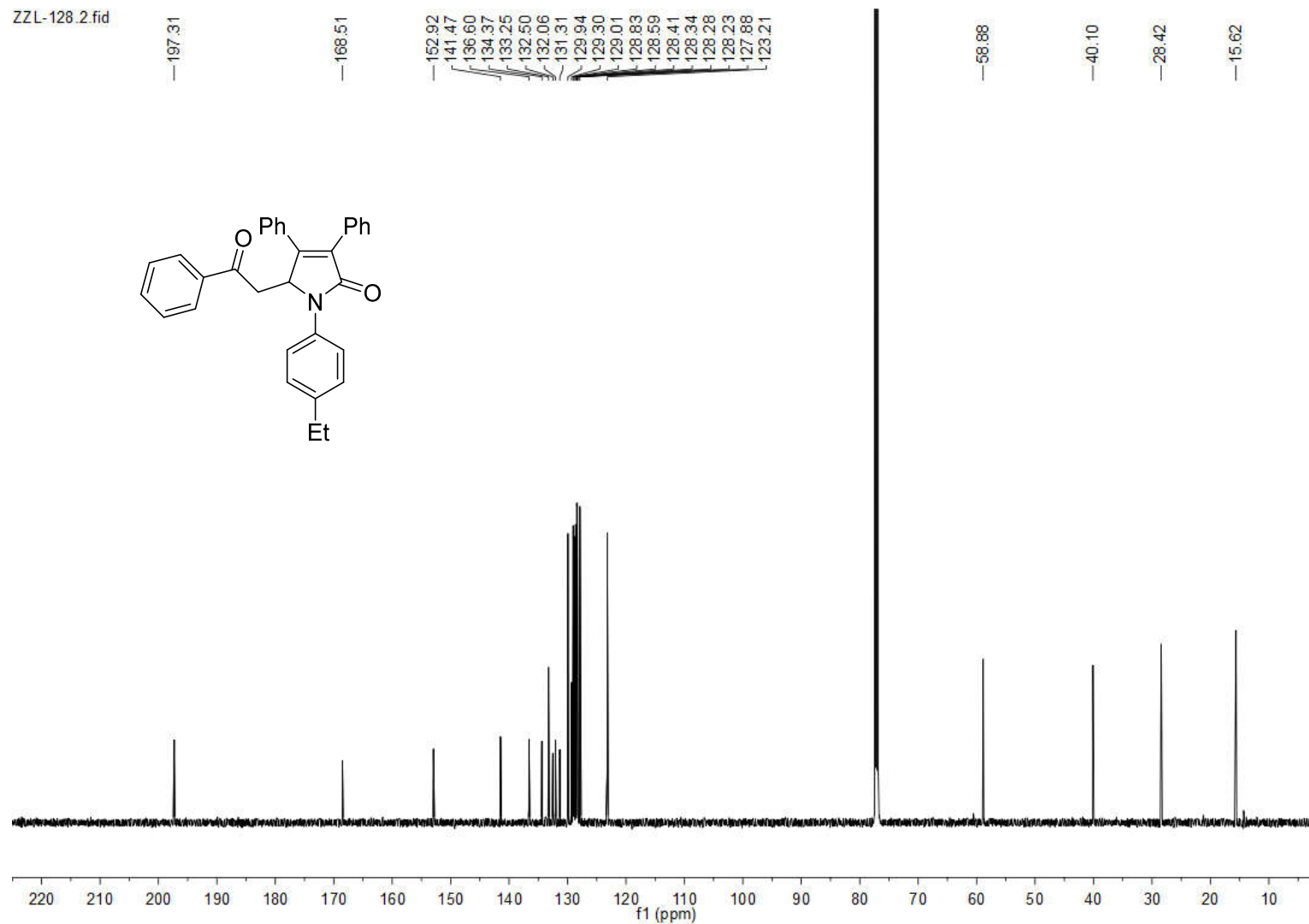
Figure S72. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 5e



**Figure S73.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **5e**

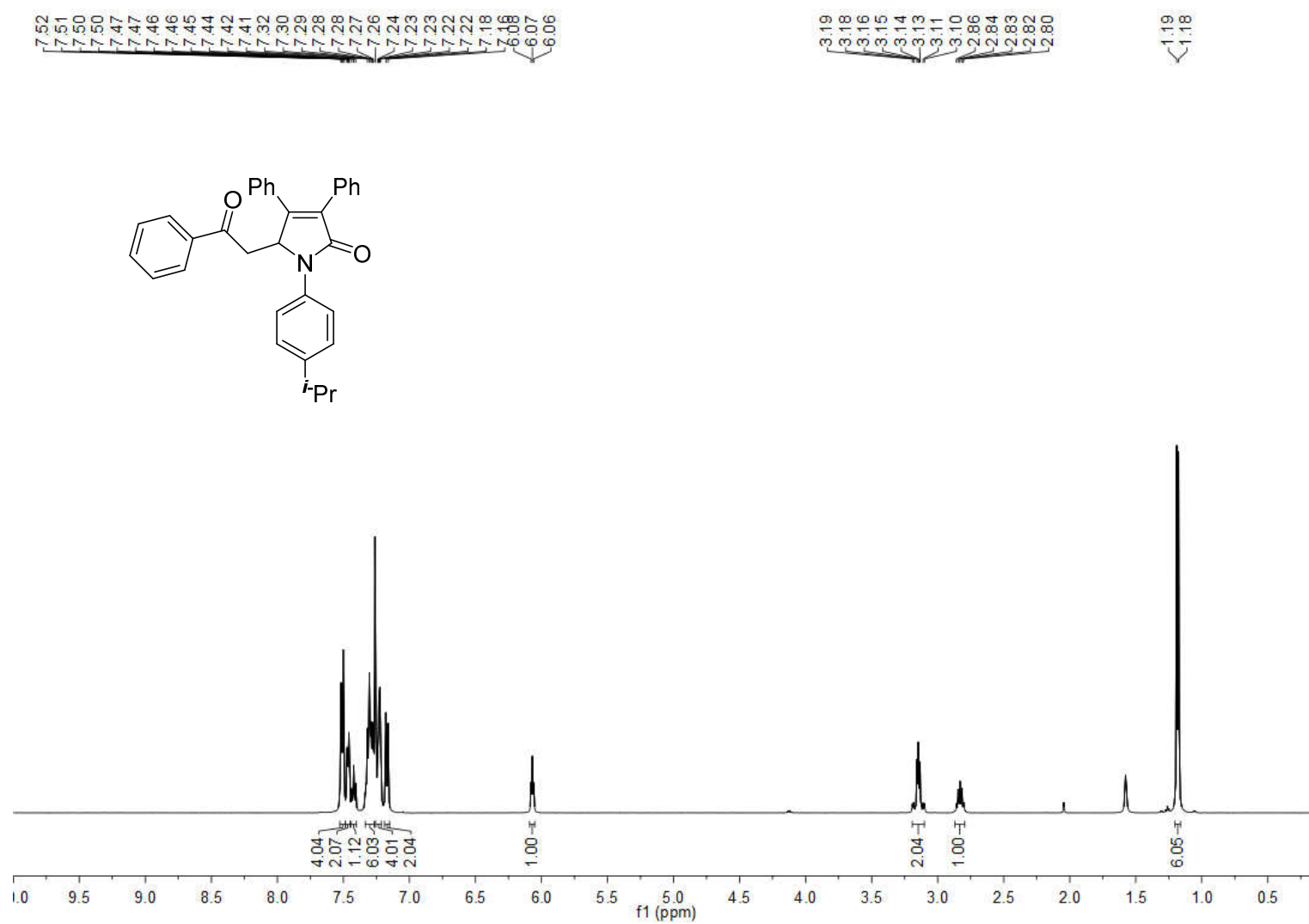


**Figure S74.** <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound **5f**



**Figure S75.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **5f**





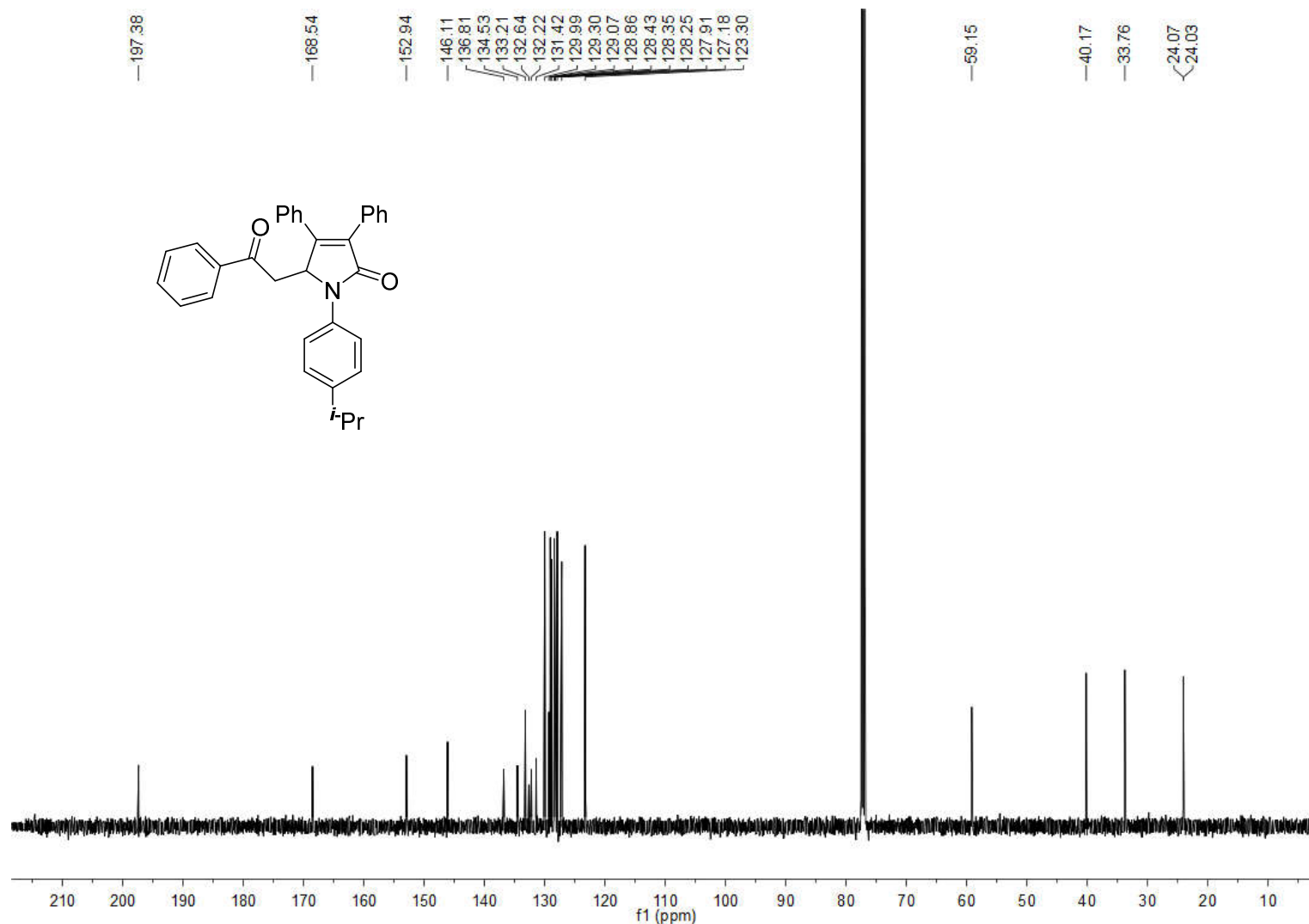
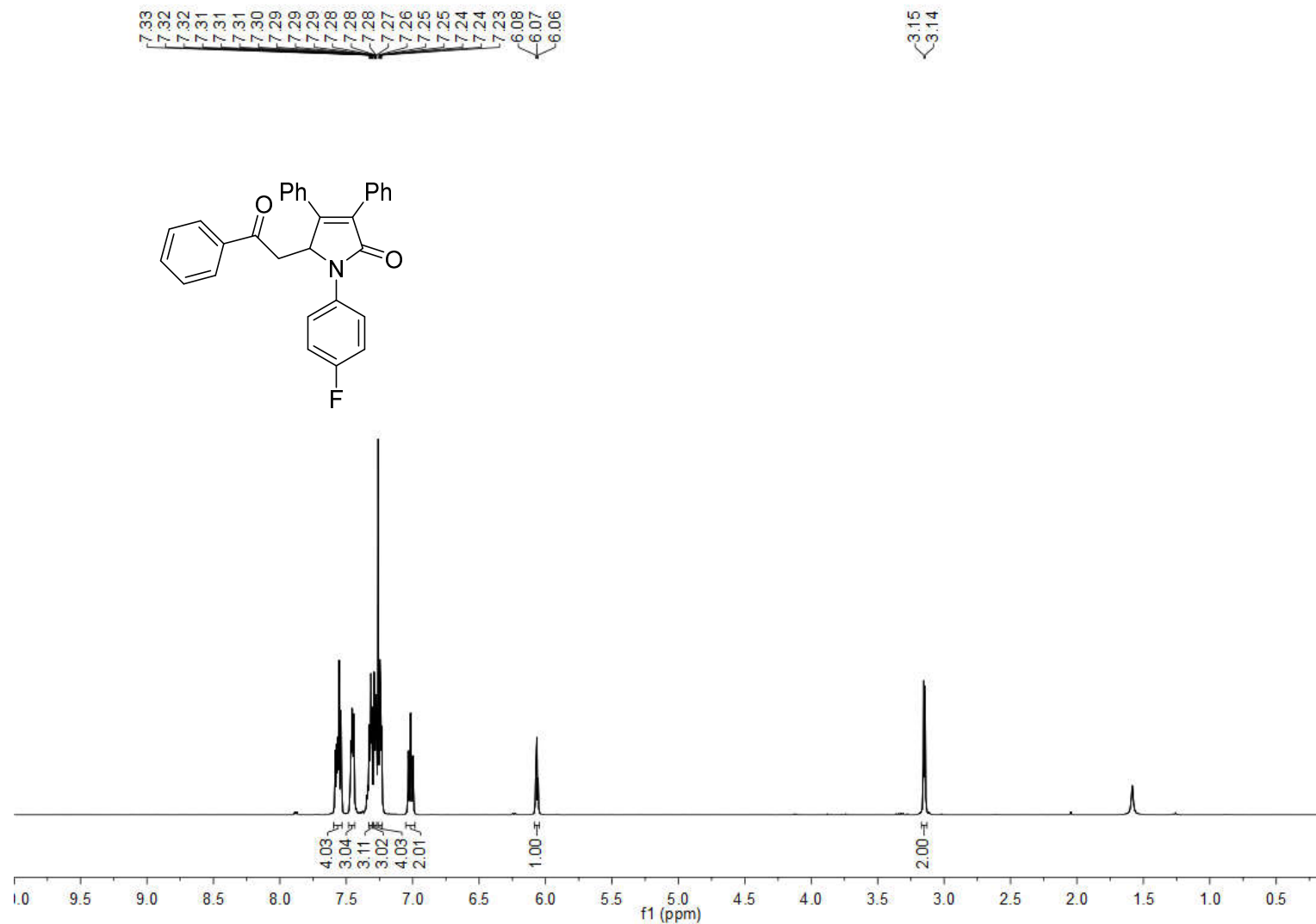


Figure S77. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5g



**Figure S78.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5h**

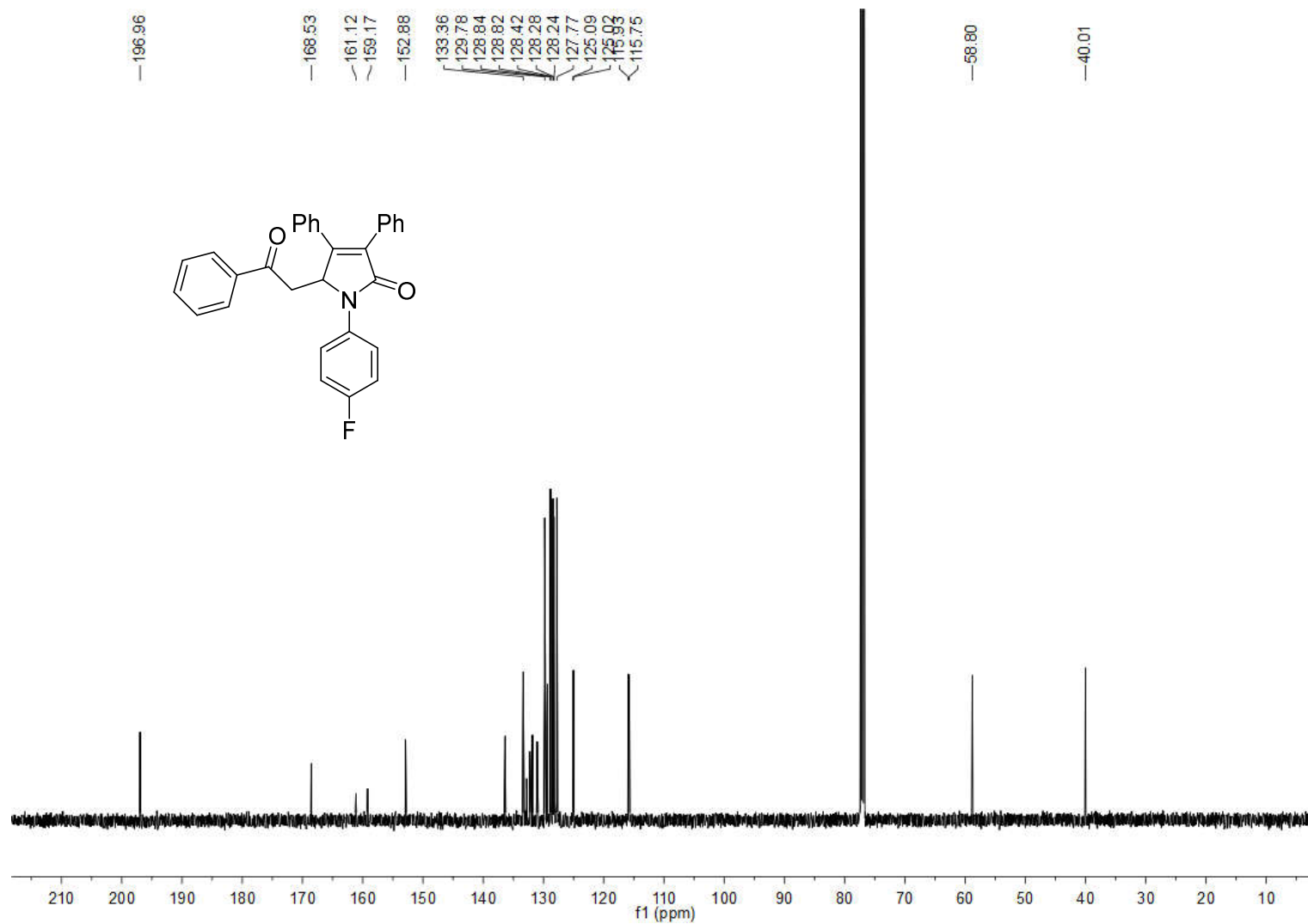
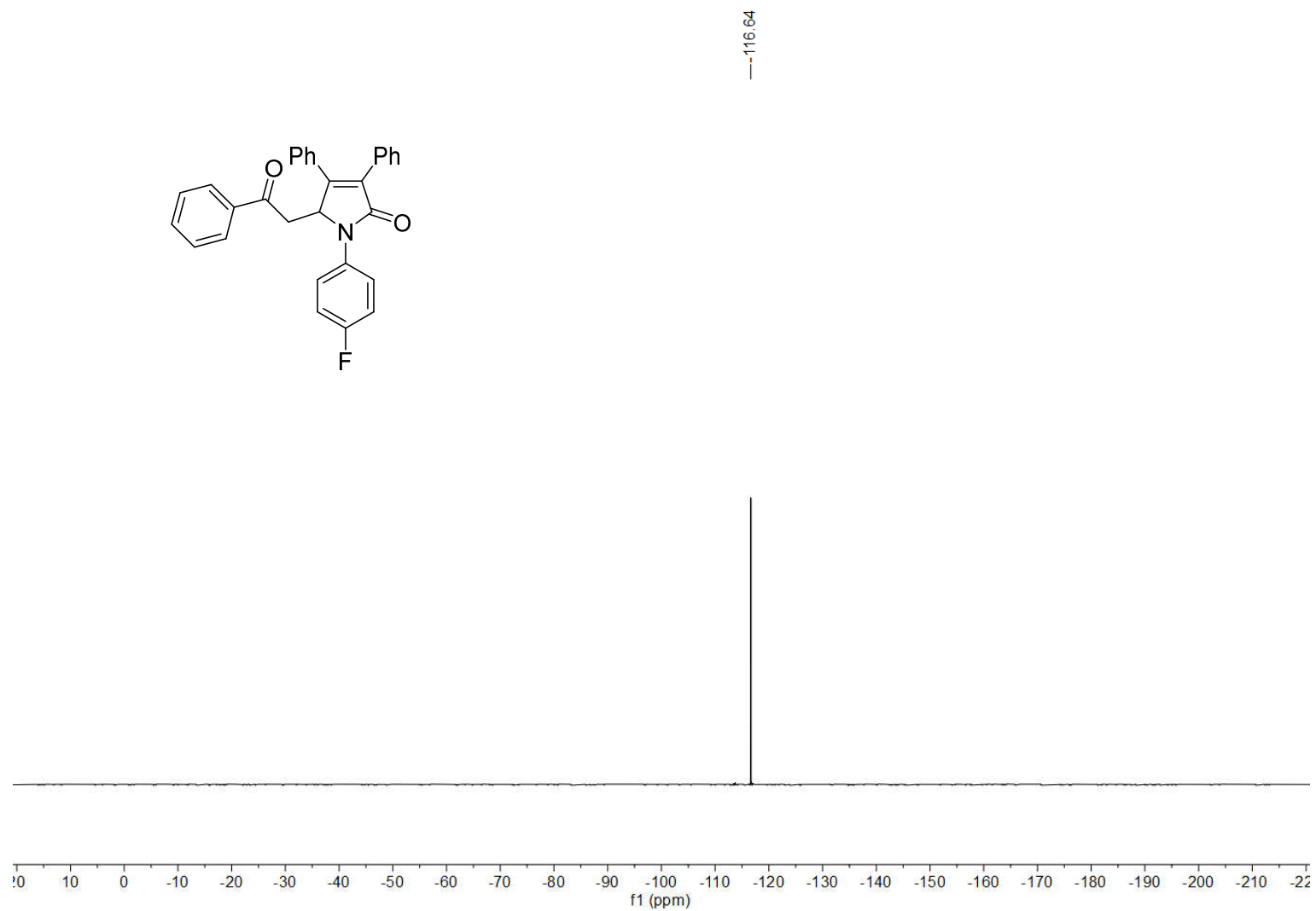
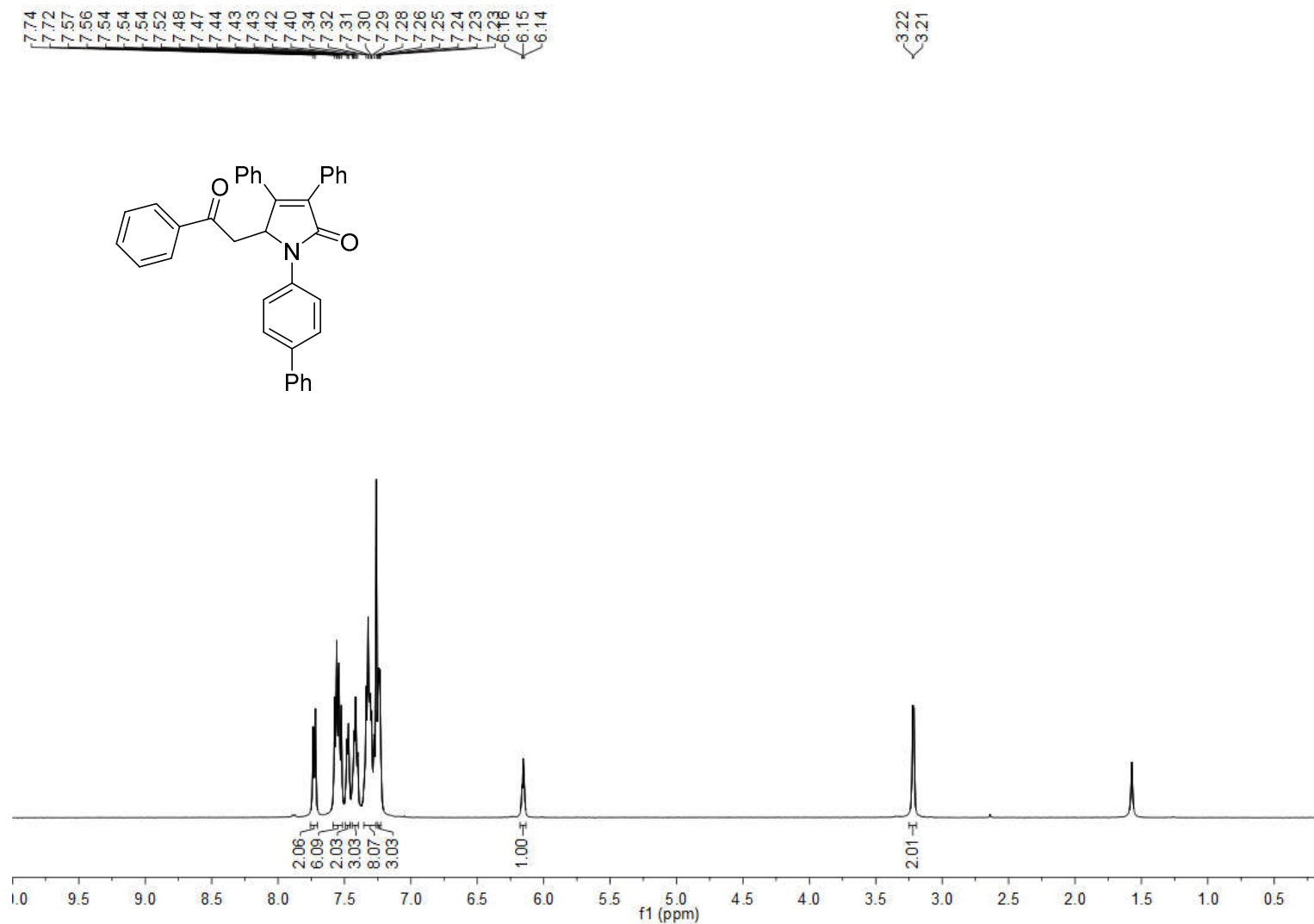


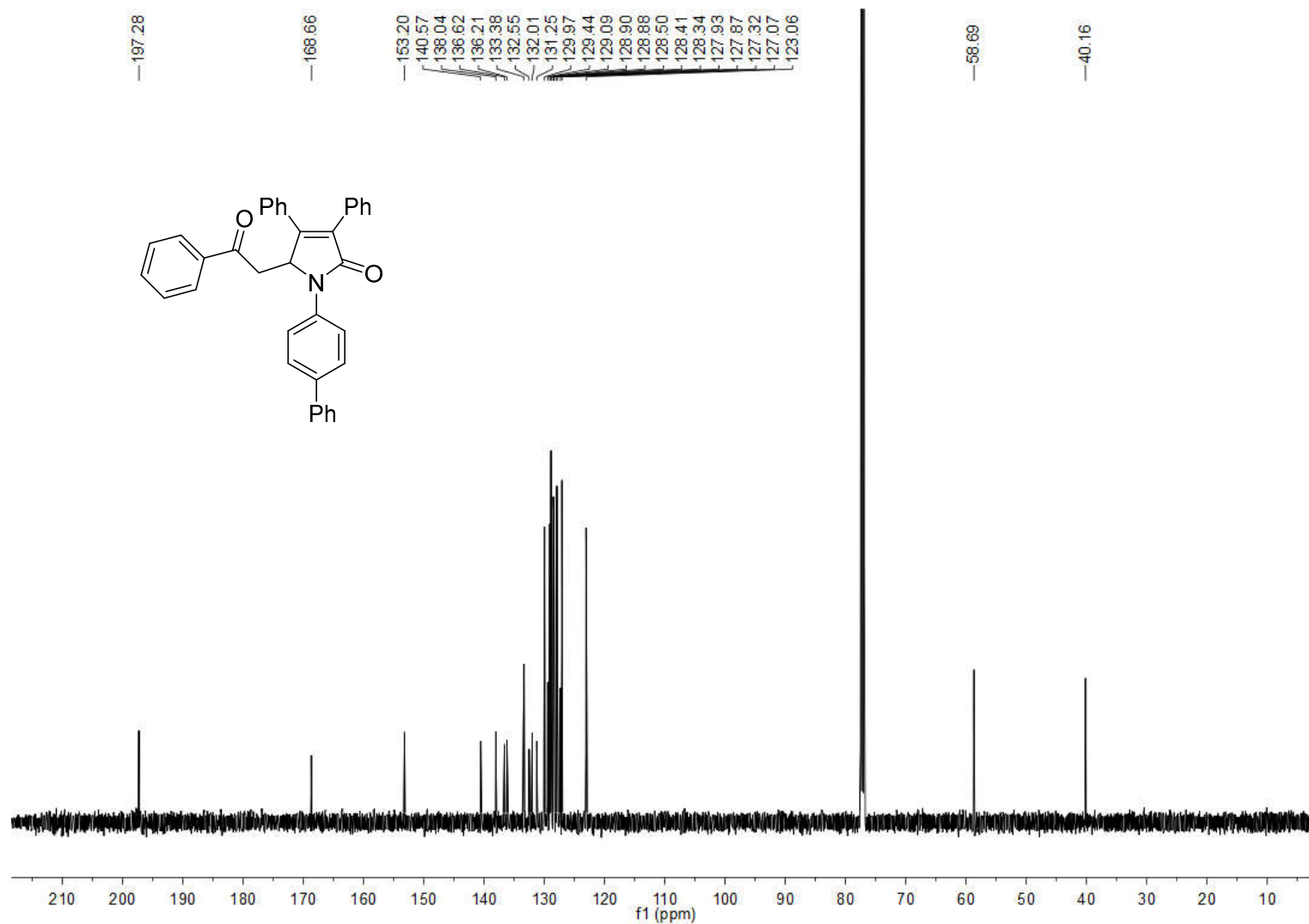
Figure S79.  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound 5h



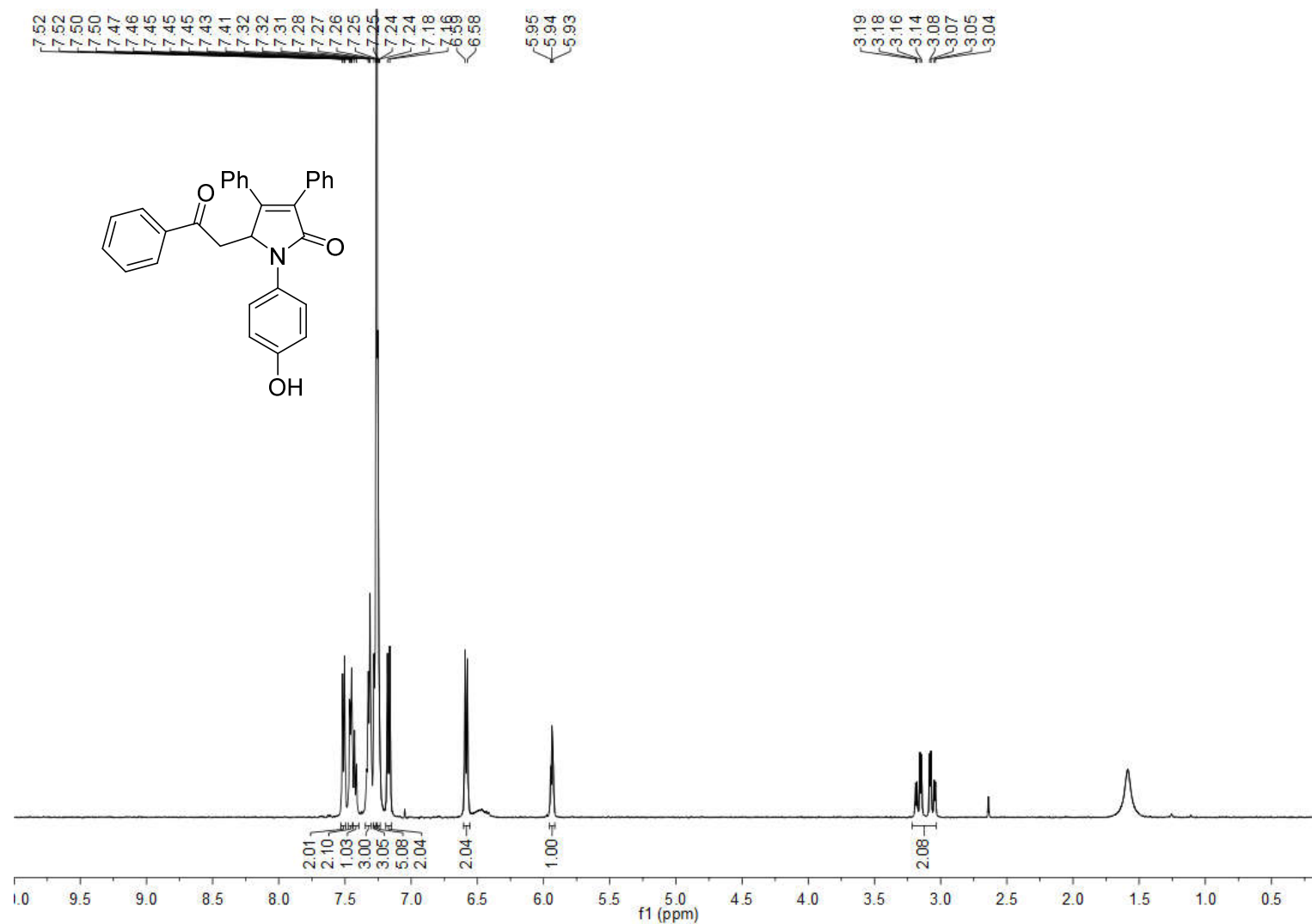
**Figure S80.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **5h**



**Figure S81.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5i**



**Figure S82.**  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound **5i**





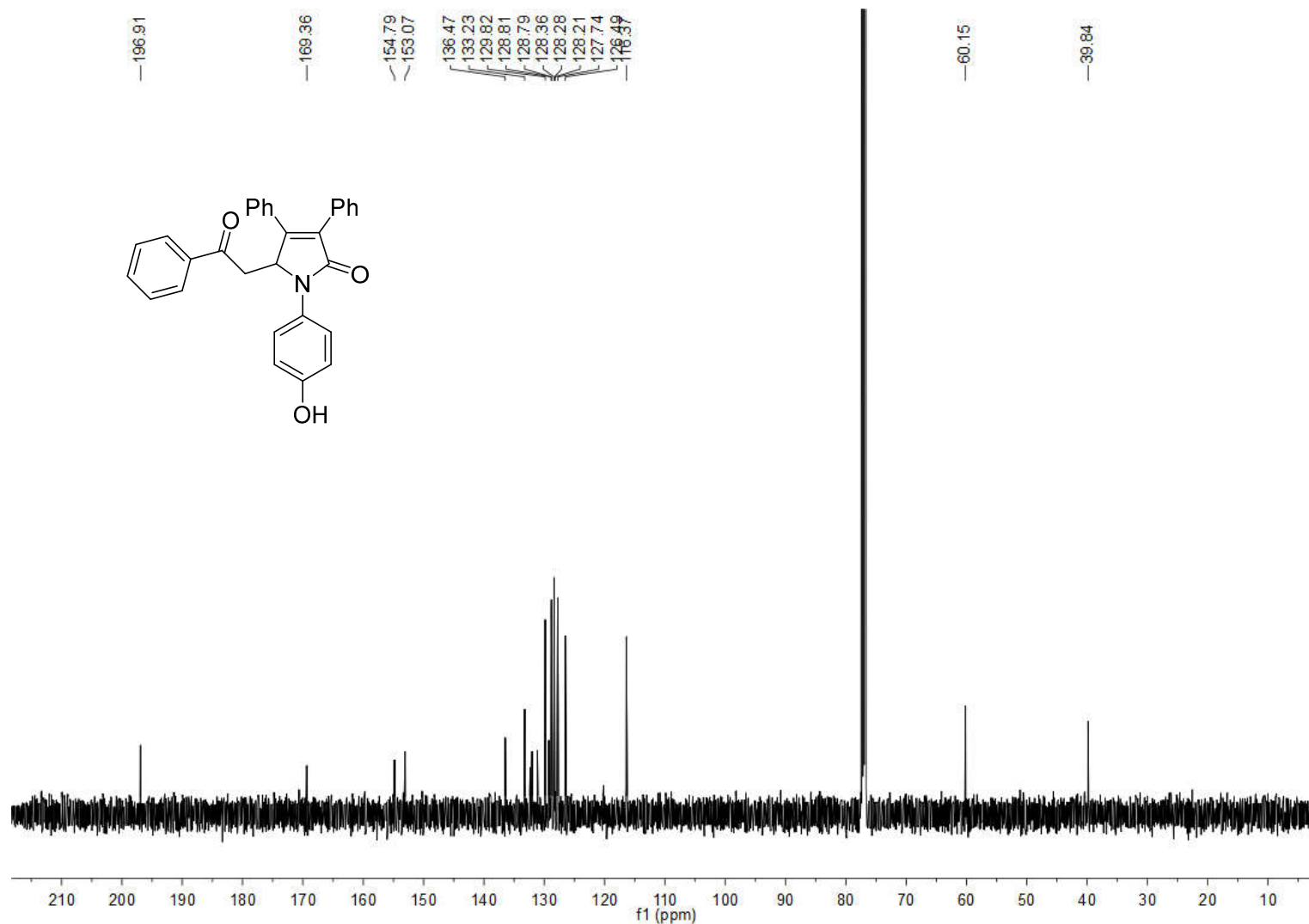


Figure S84. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5j

ZZL-133.1.fid

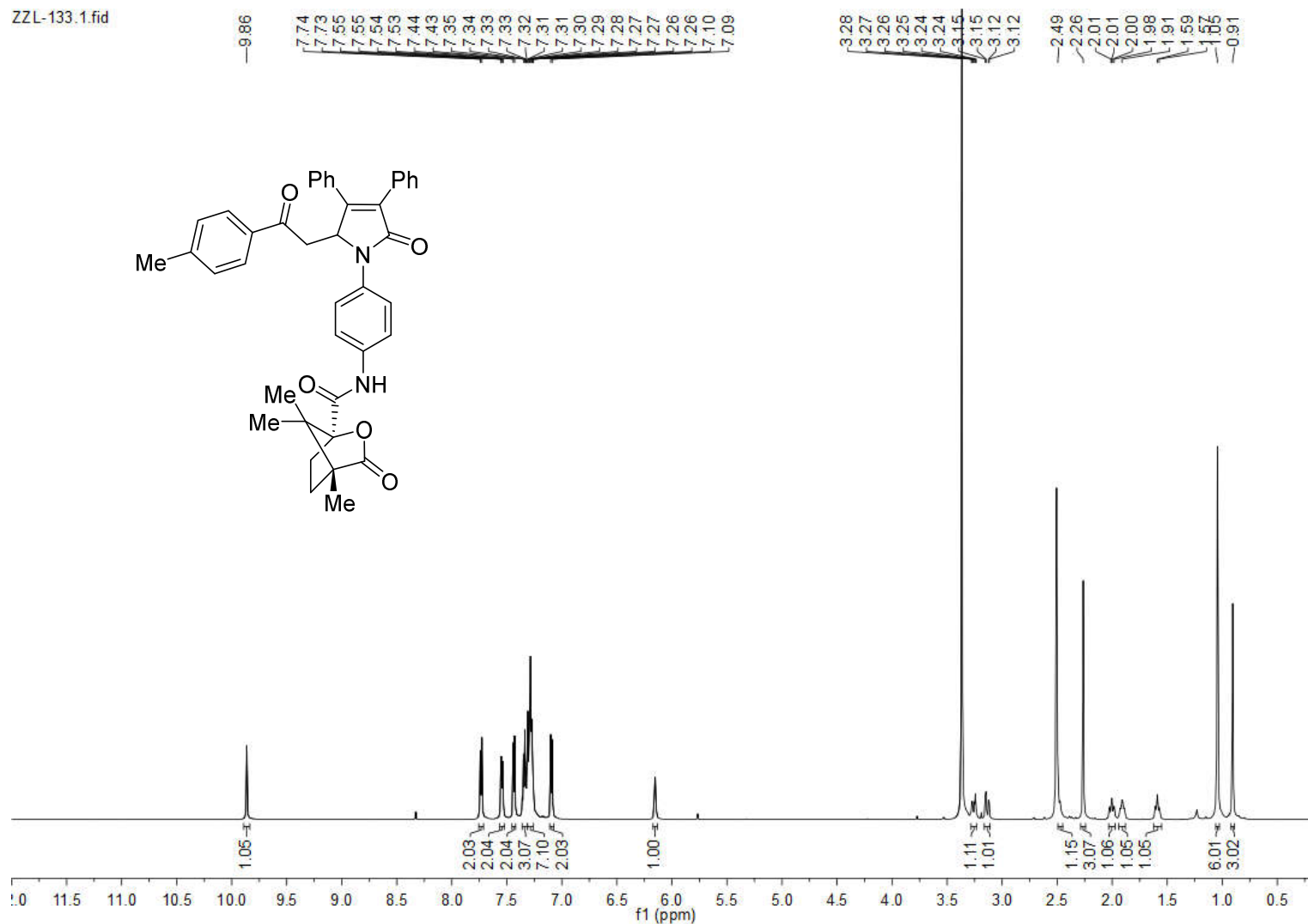


Figure S85. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound **5k**

ZZL-133.2.fid

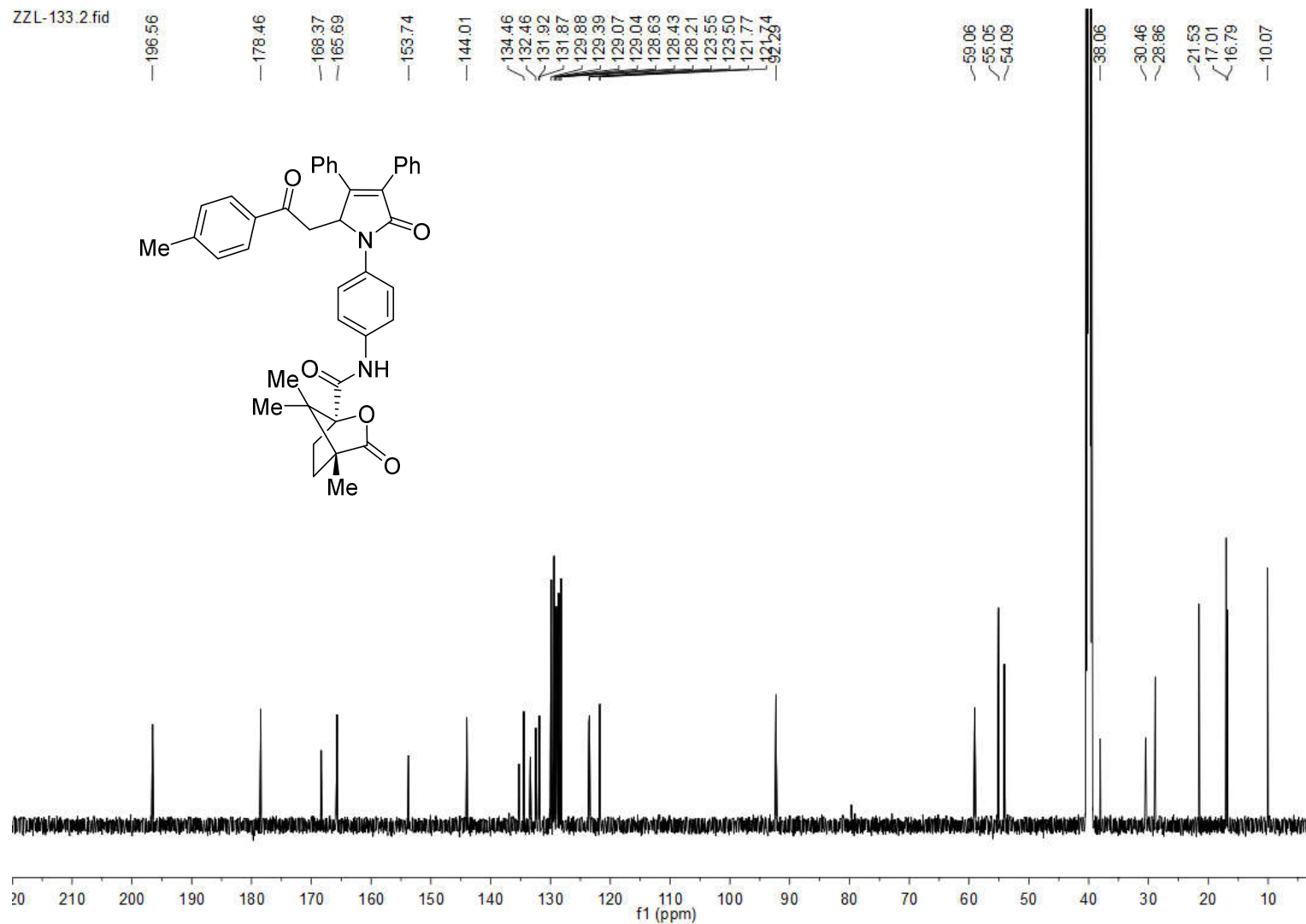
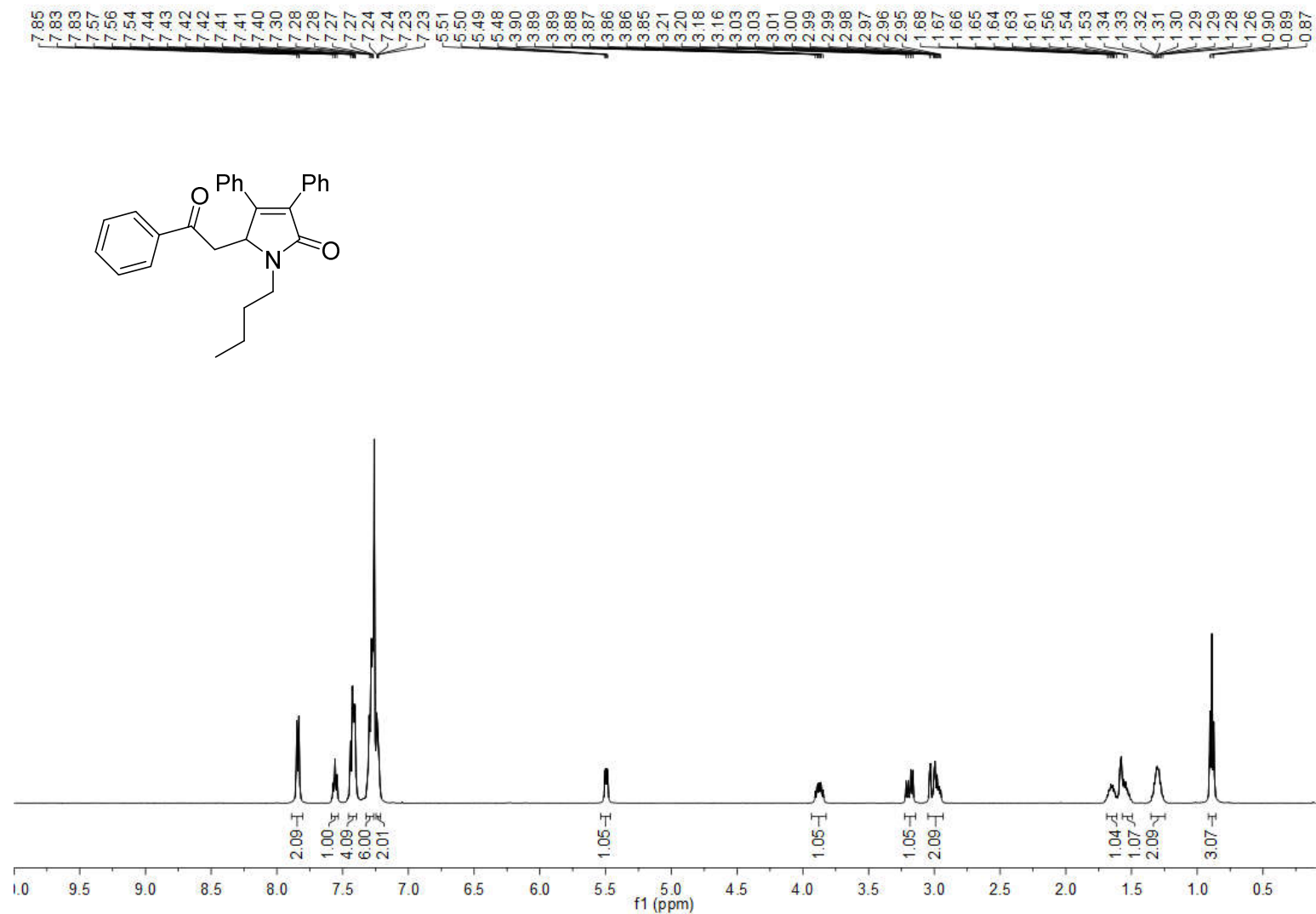
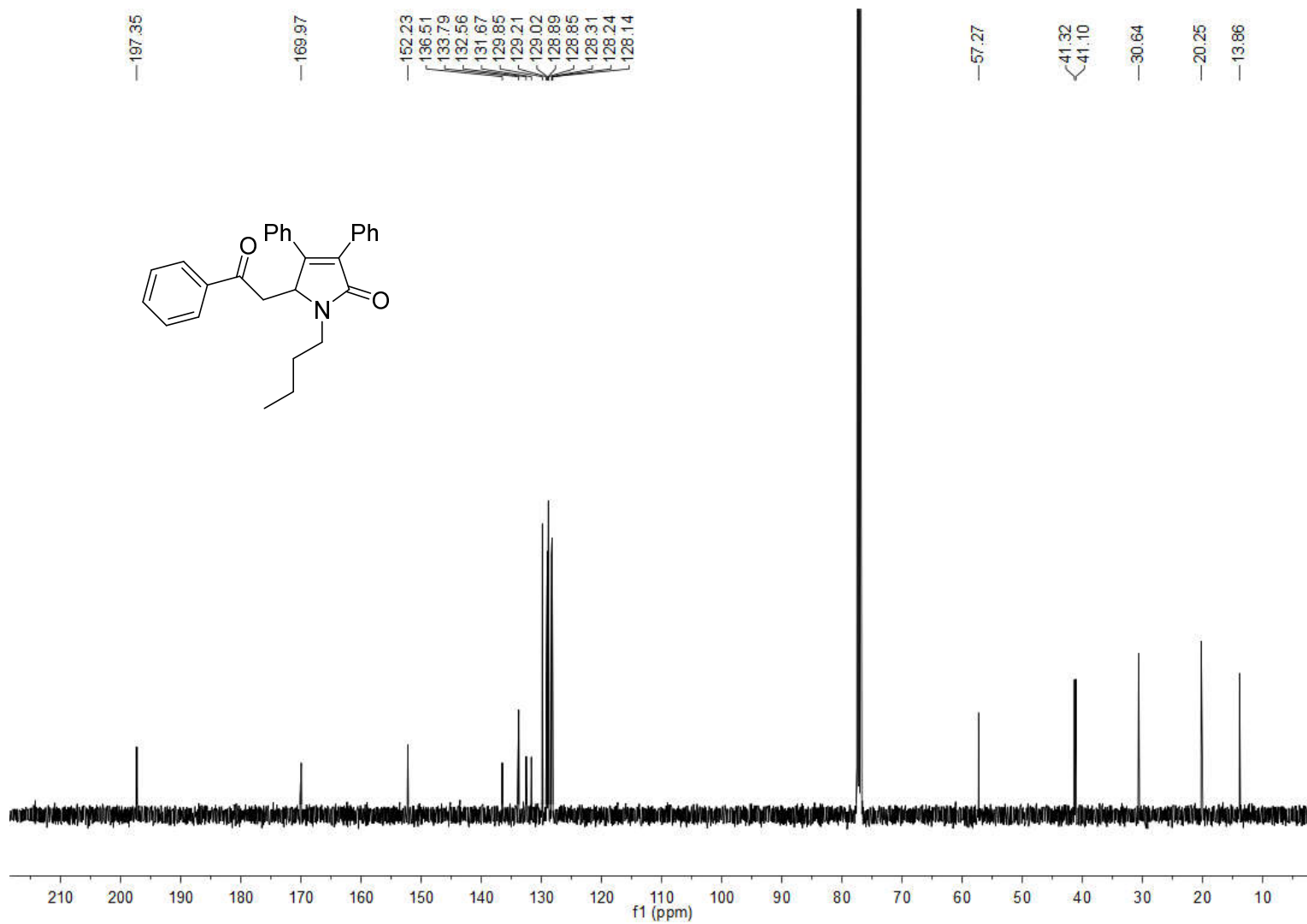


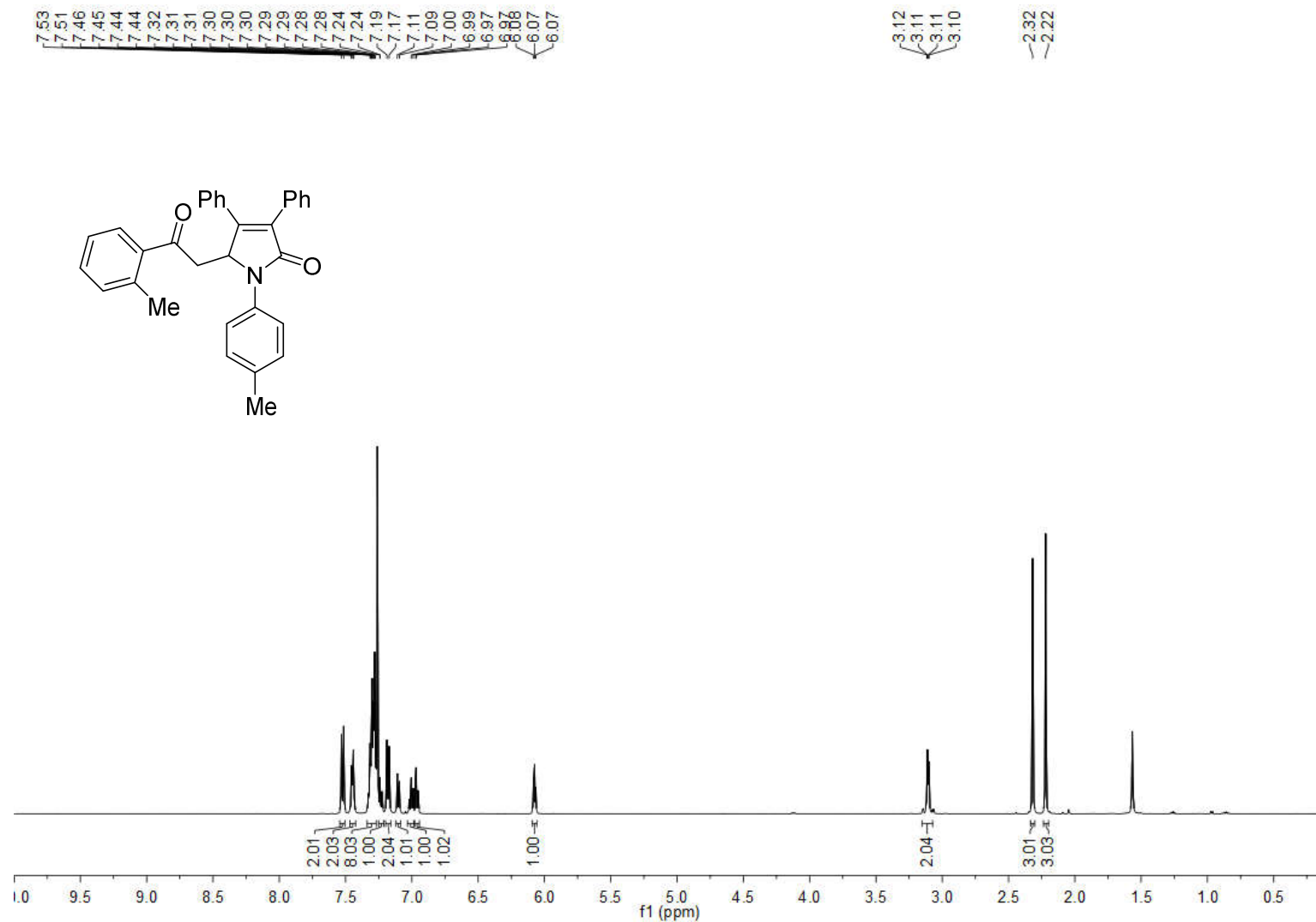
Figure S86.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 5k



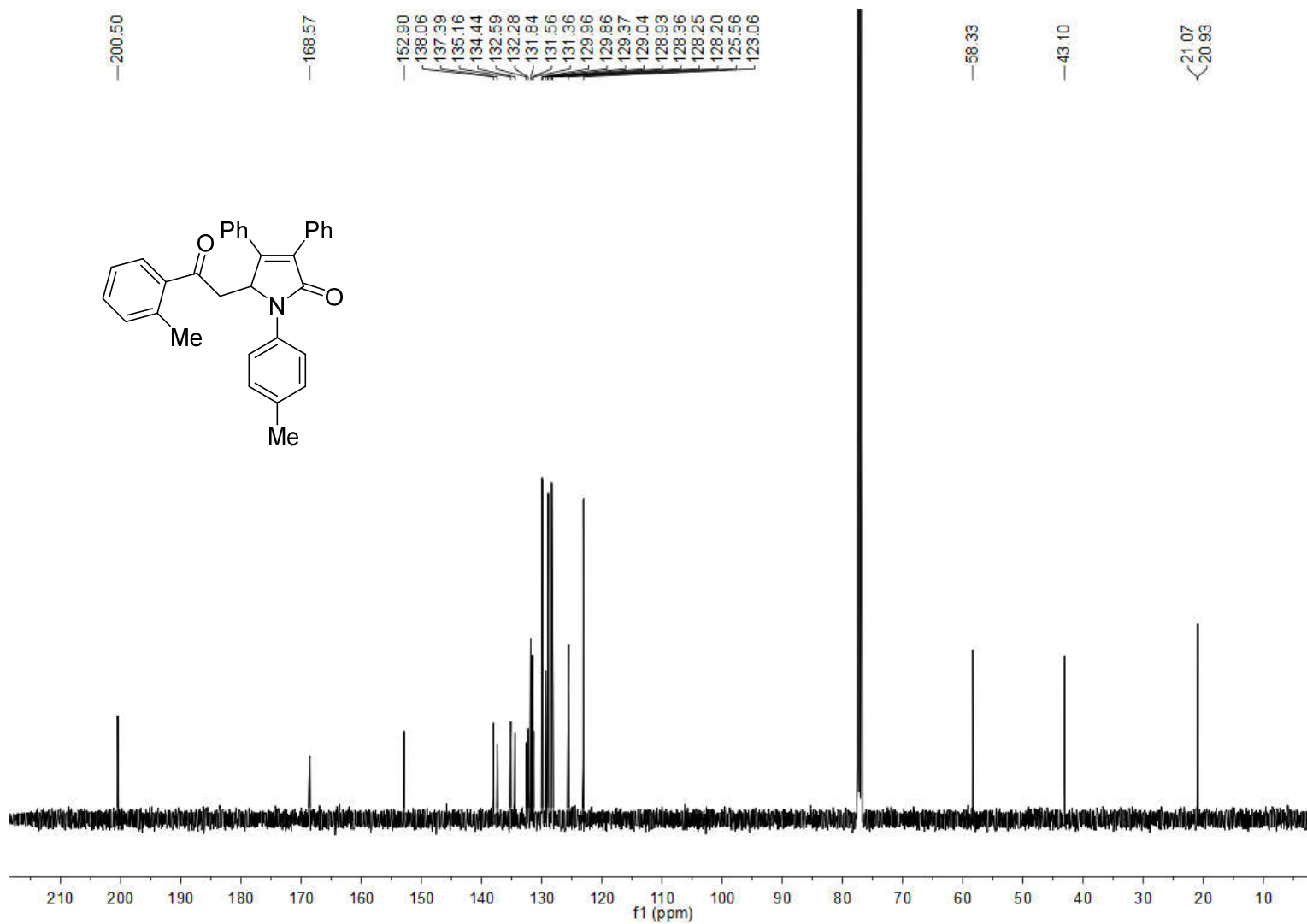
**Figure S87.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **51**



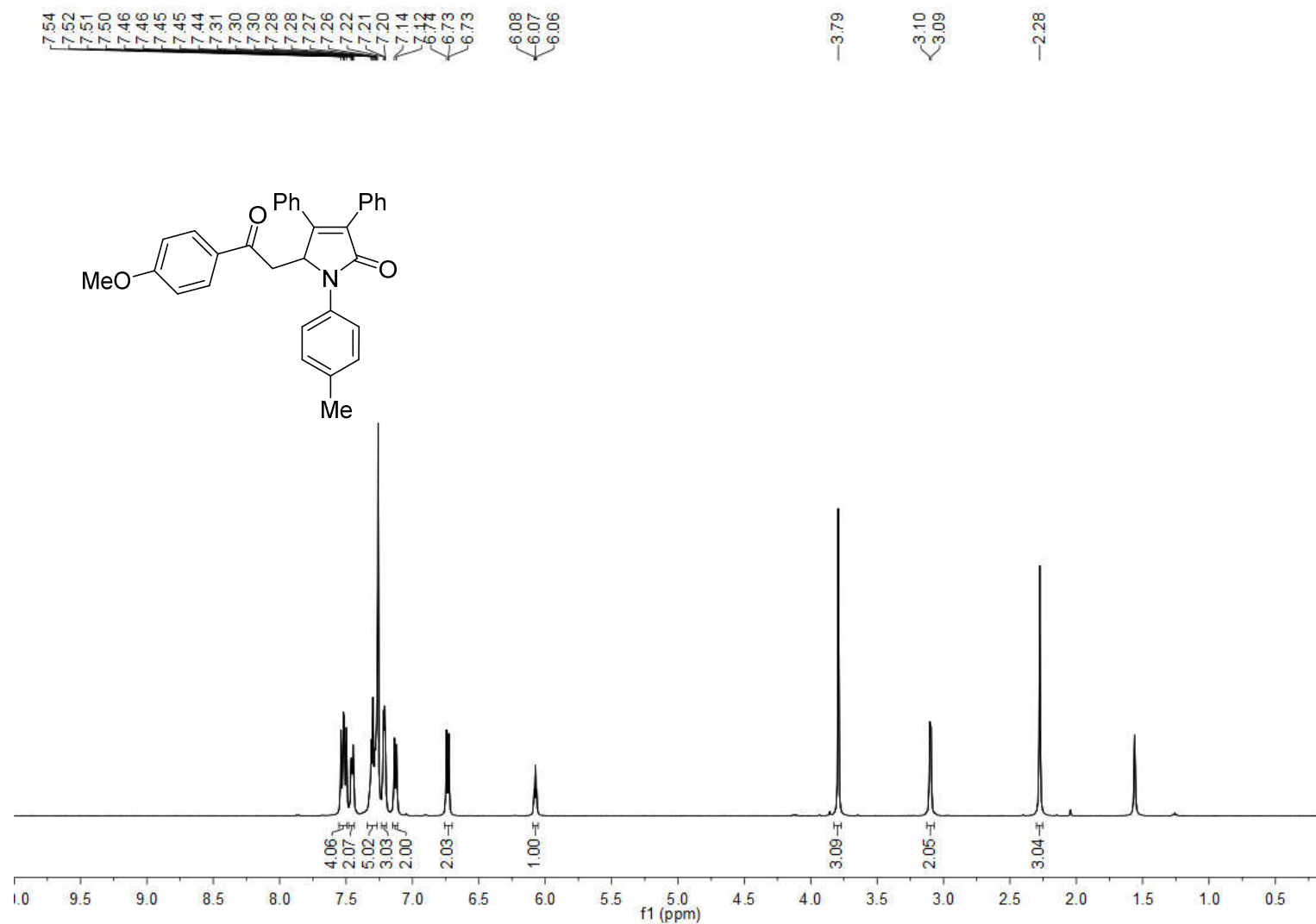
**Figure S88.**  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound **51**



**Figure S89.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5m**



**Figure S90.**  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound **5m**



**Figure S91.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5n**



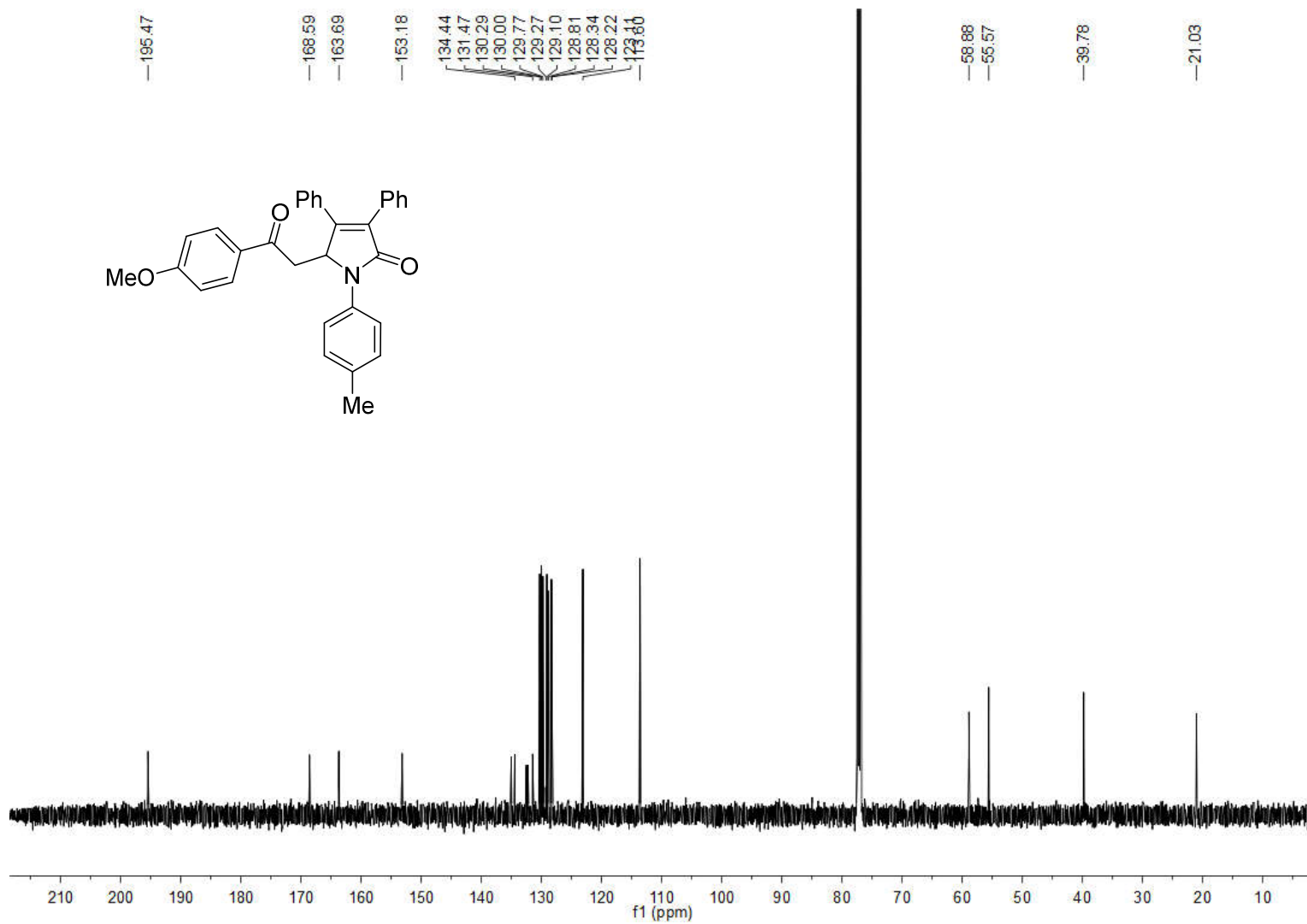
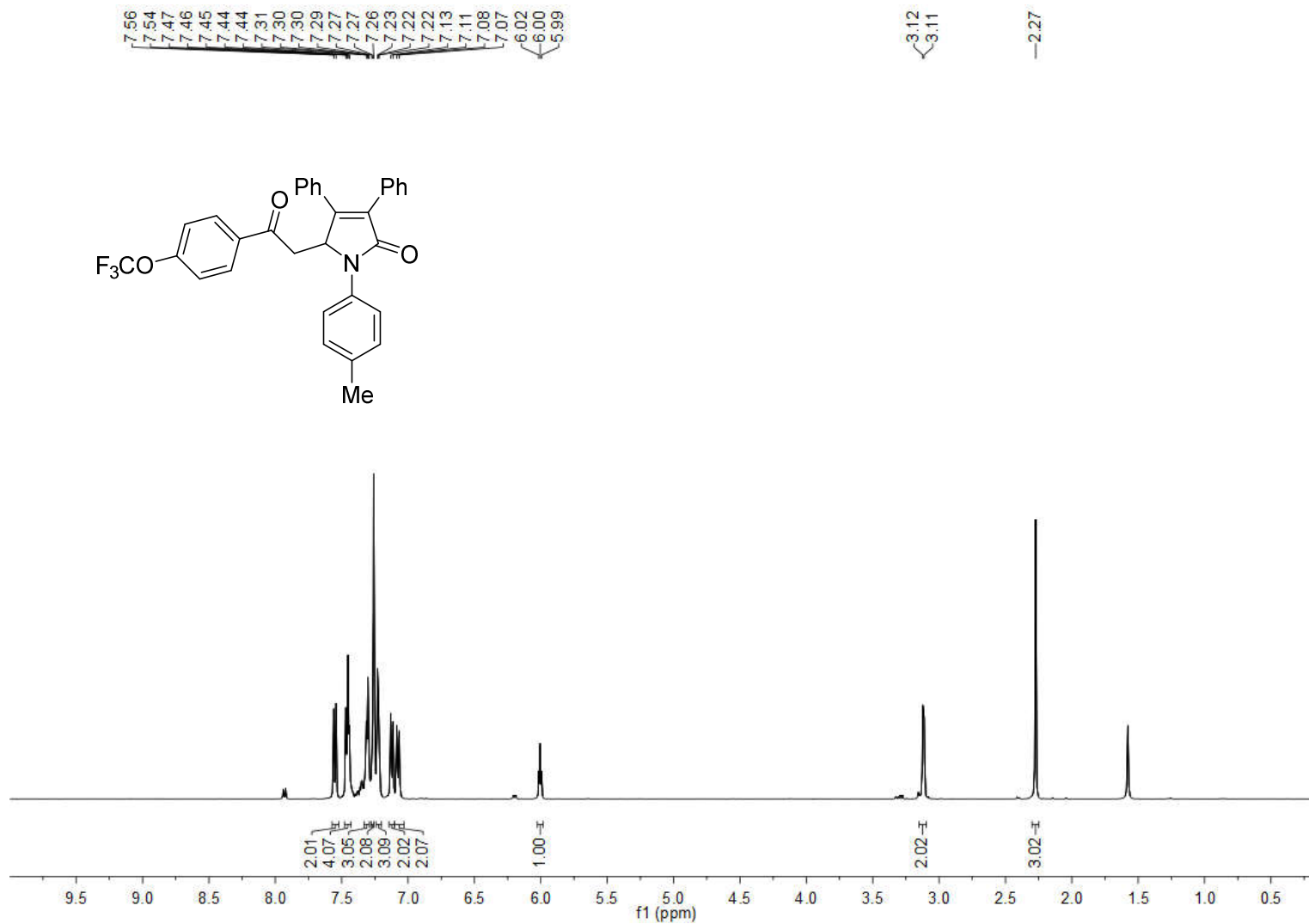
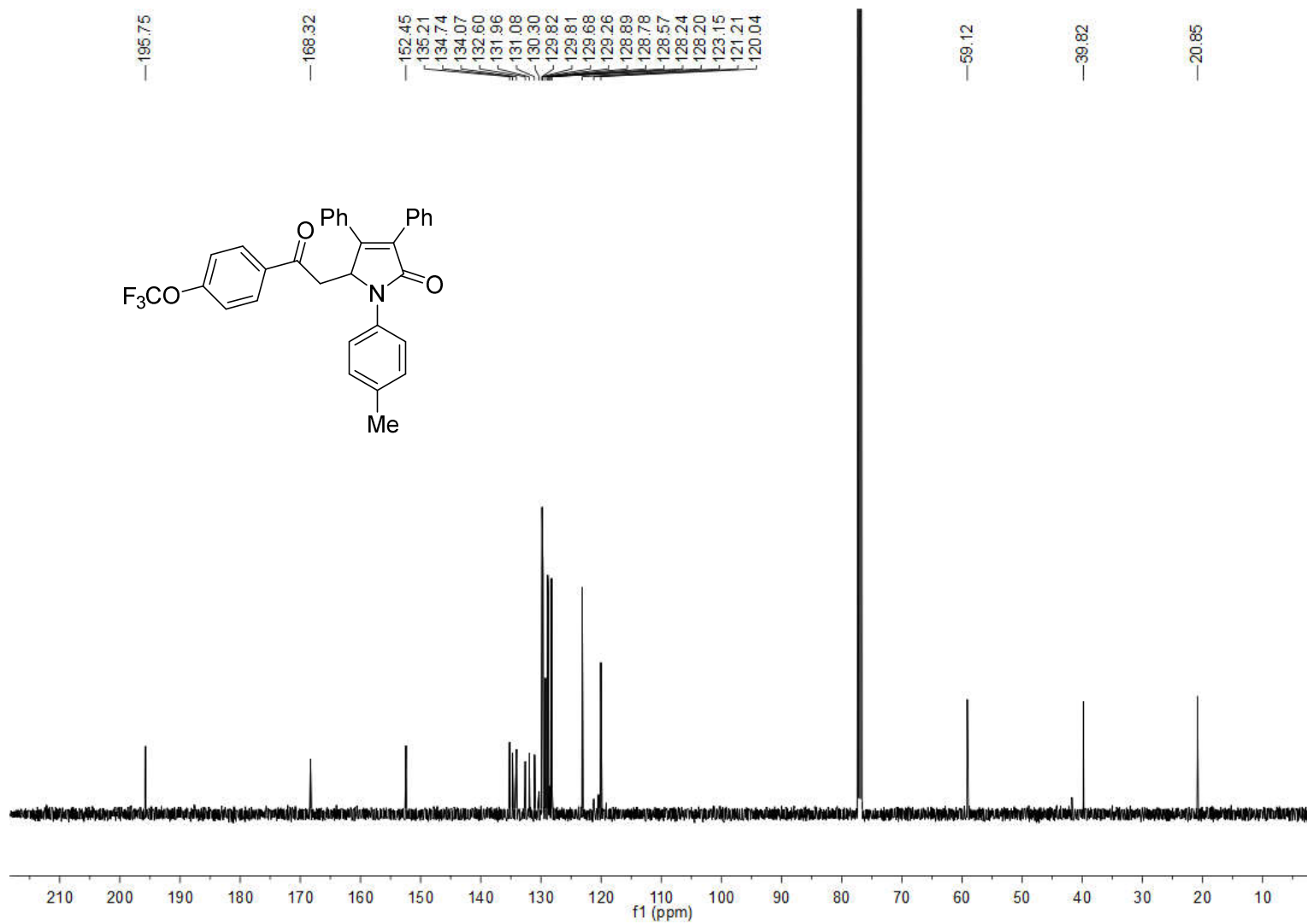


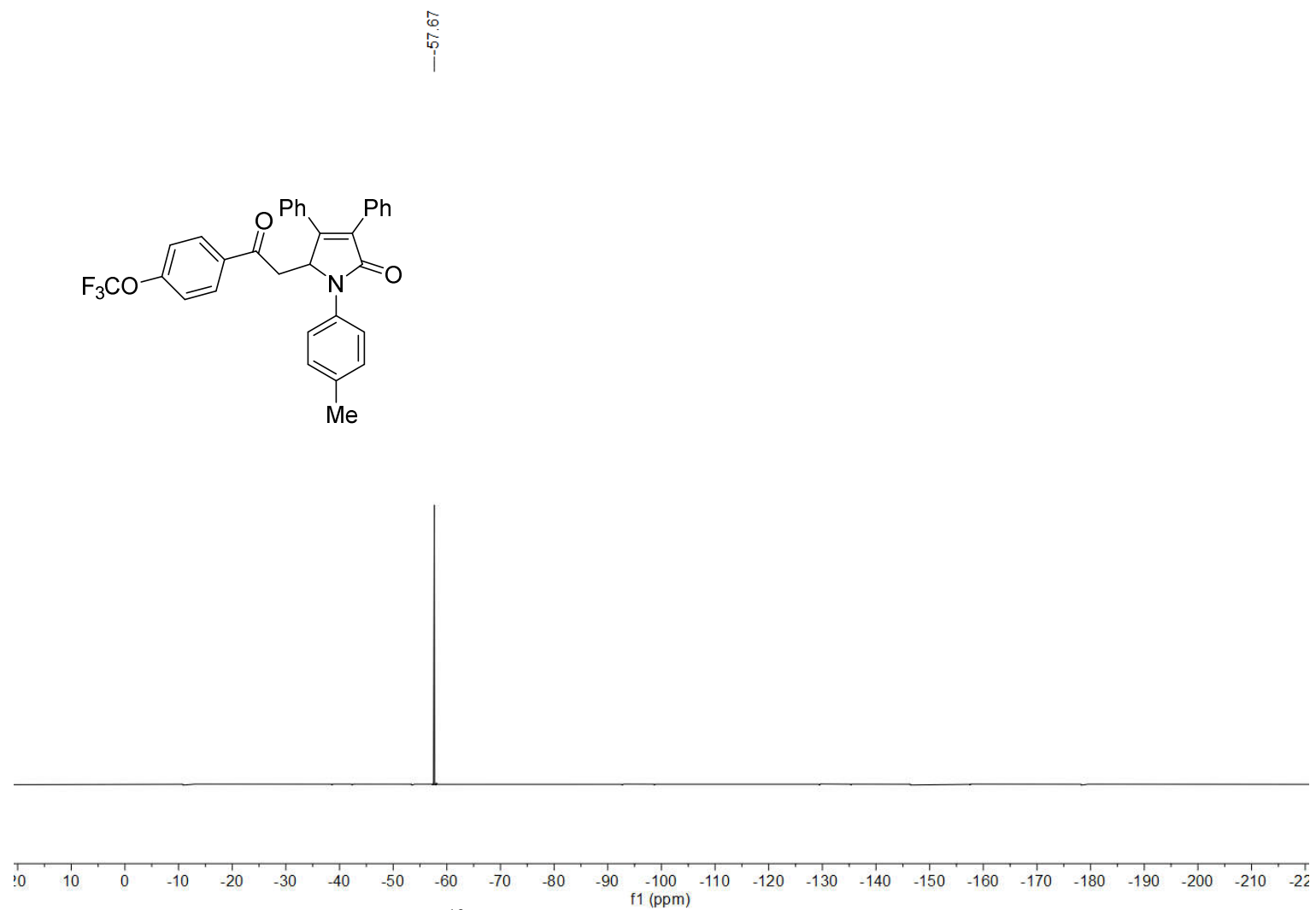
Figure S92. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5n



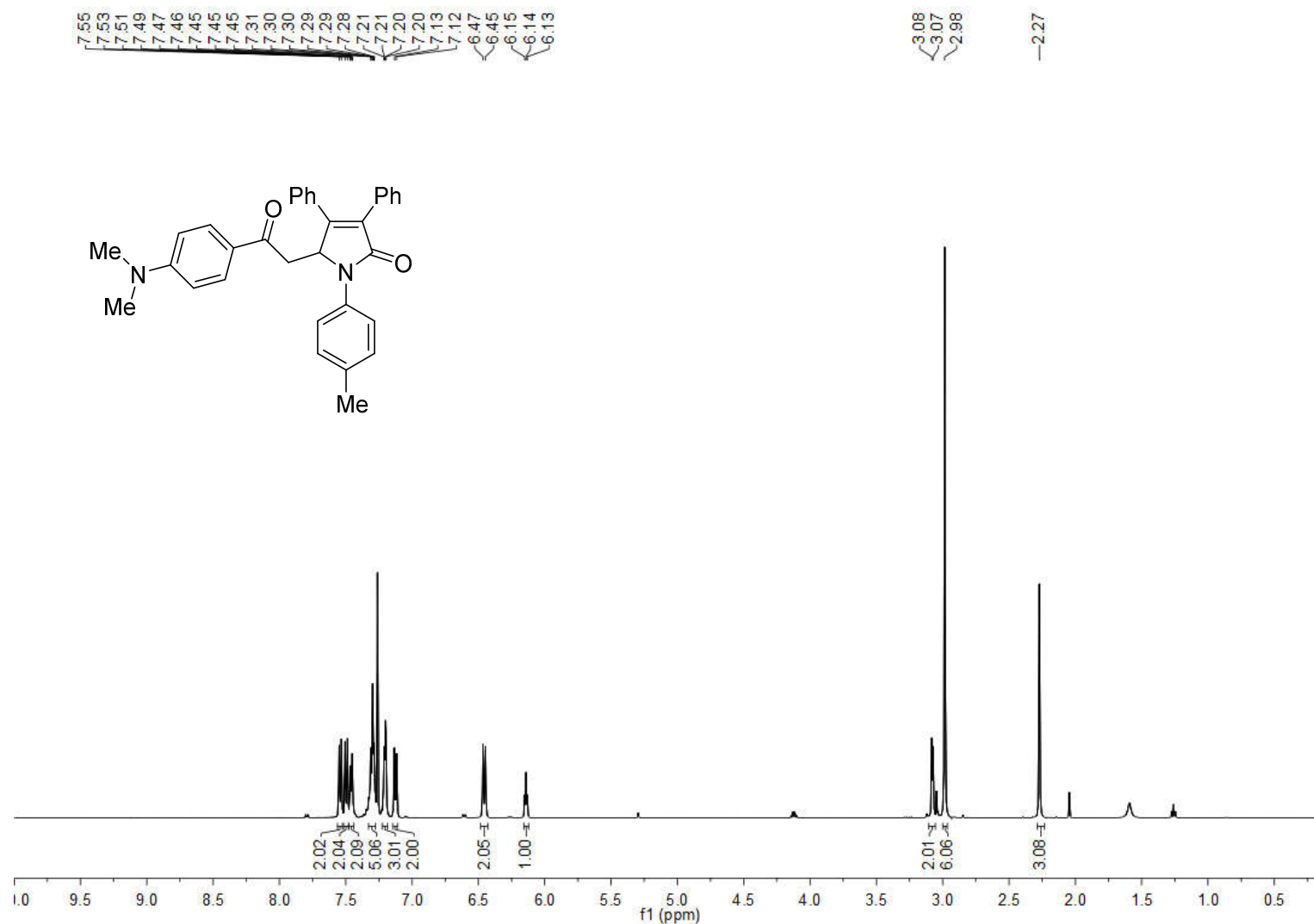
**Figure S93.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5o**



**Figure S94.** <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound **5o**



**Figure S95.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **5o**



**Figure S96.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5p**

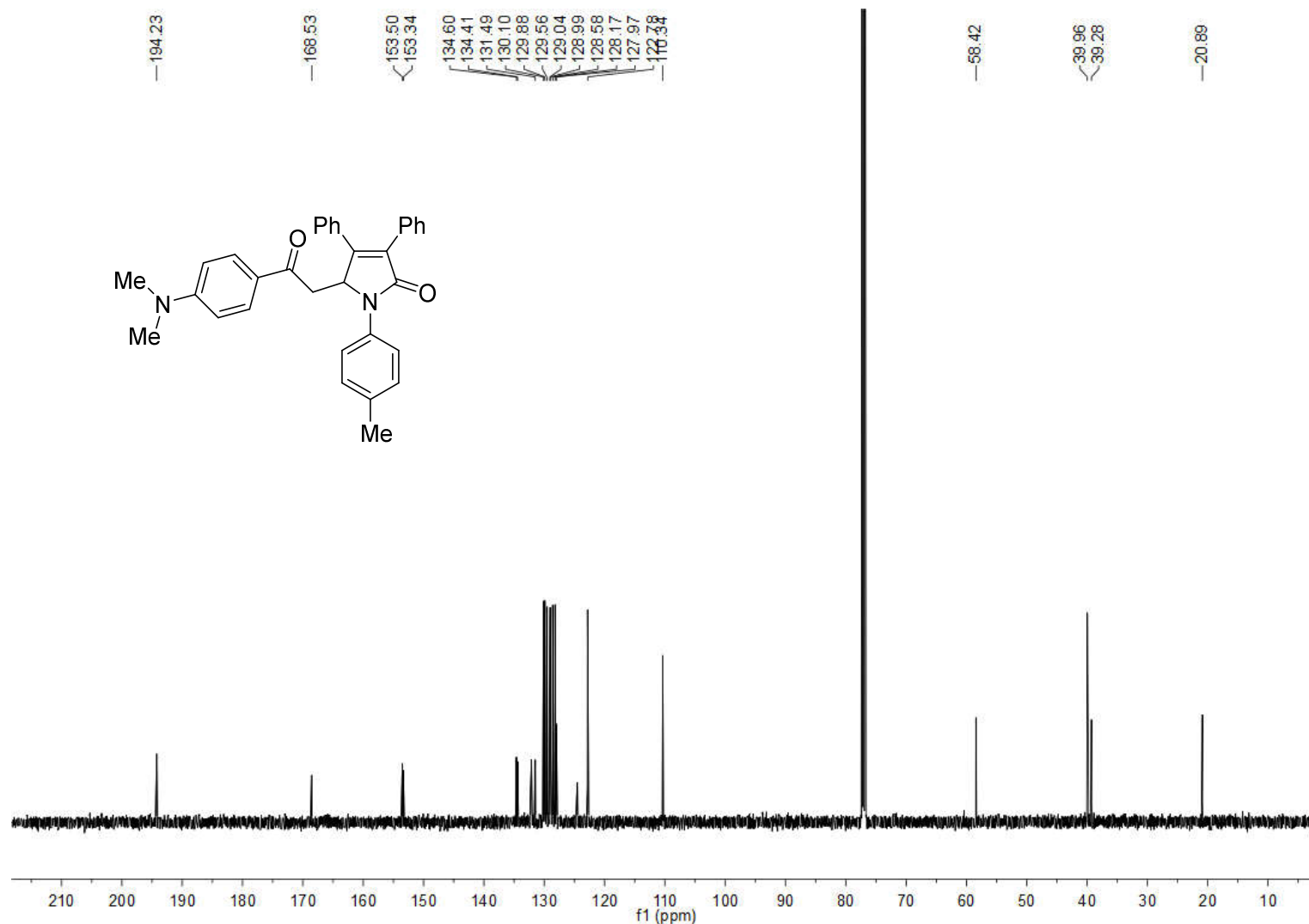
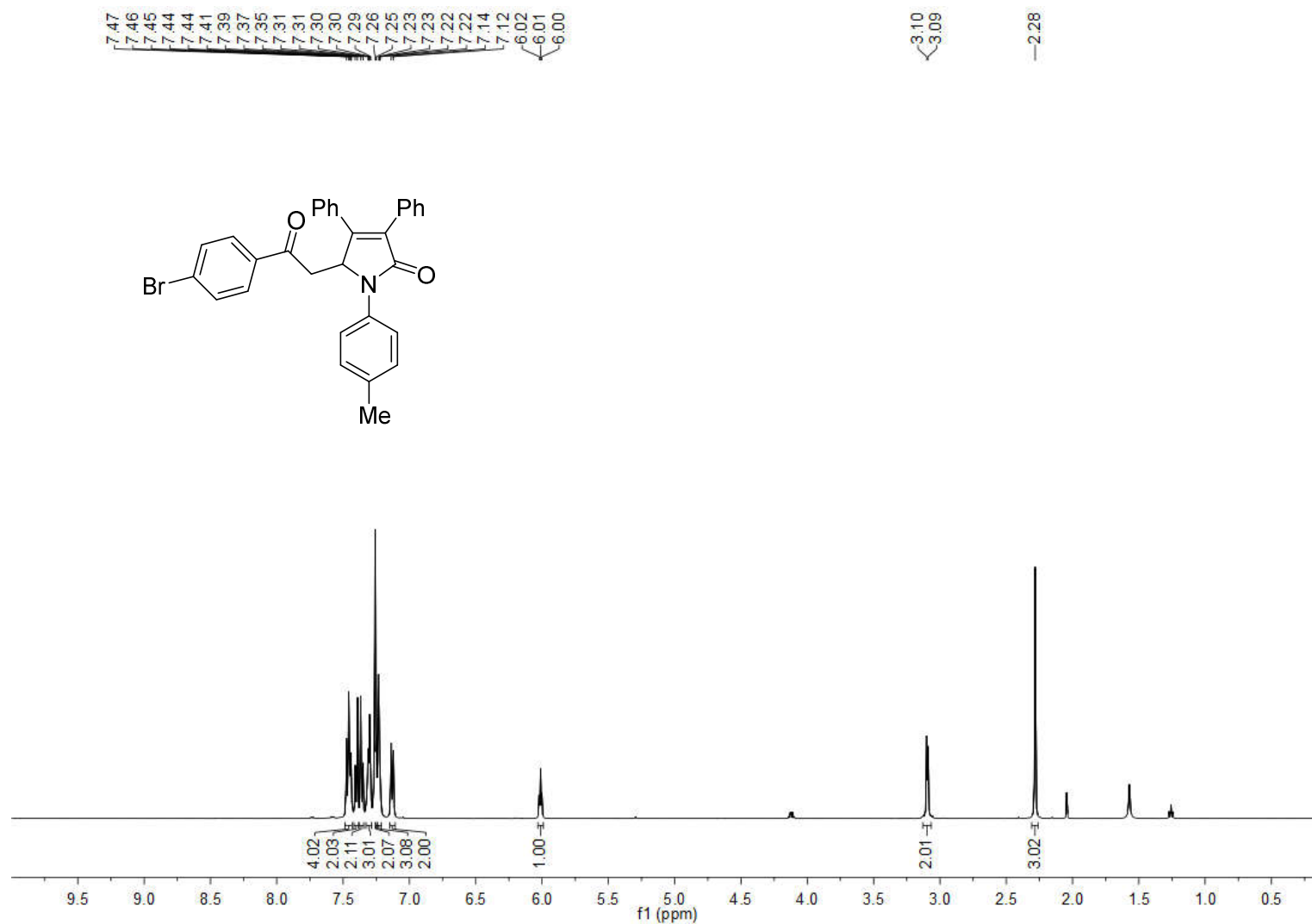


Figure S97. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5p



**Figure S98.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5q**

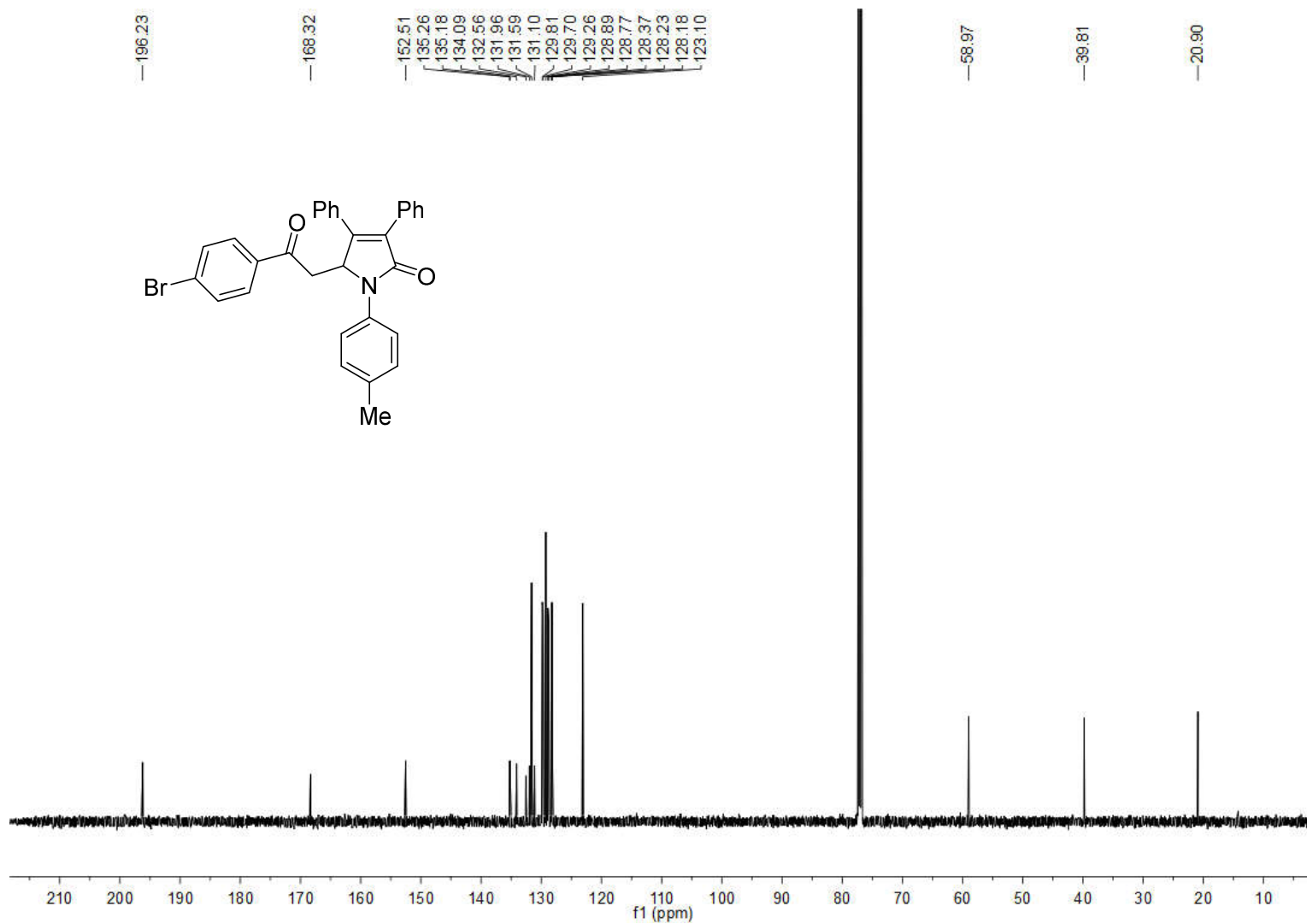
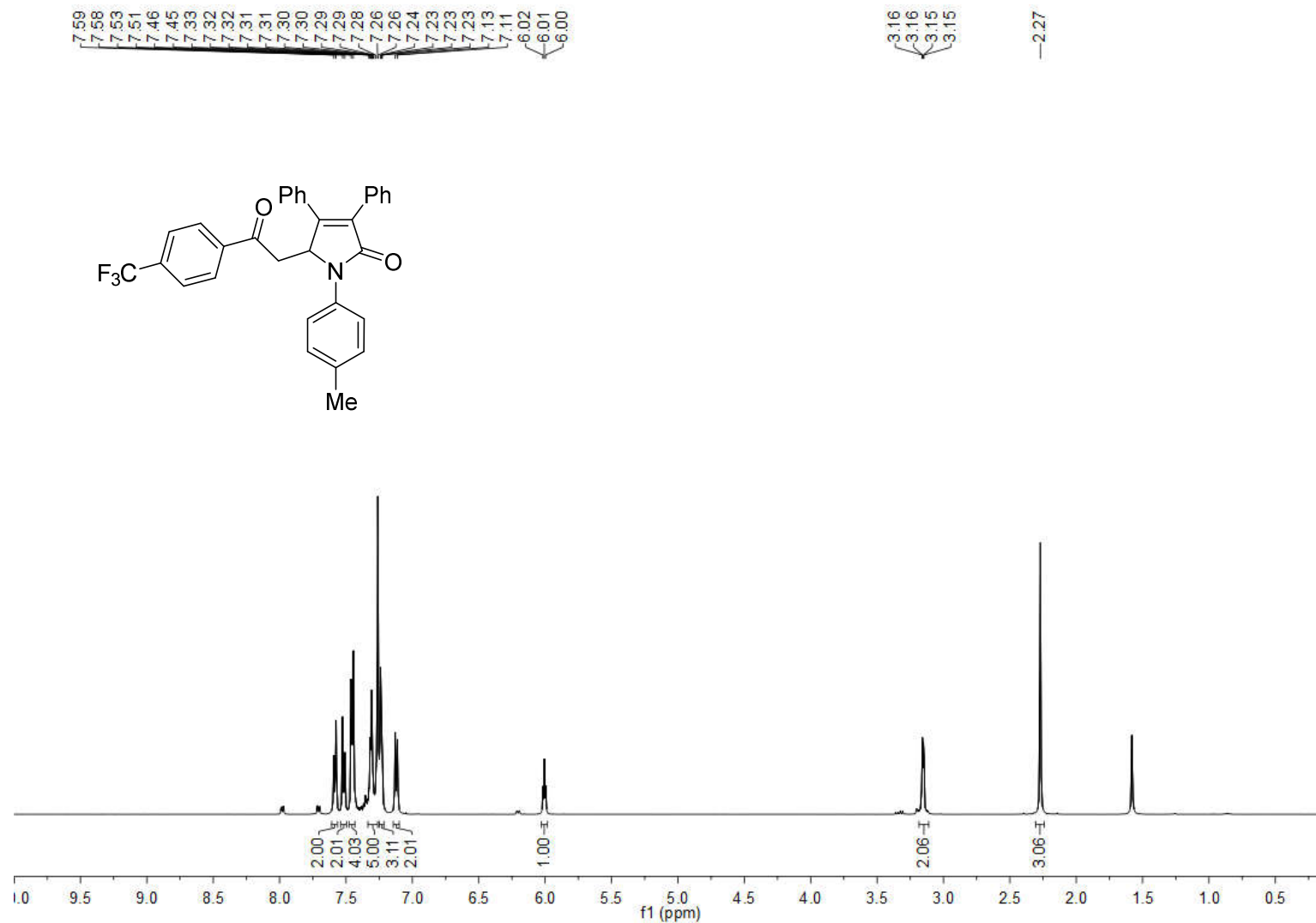
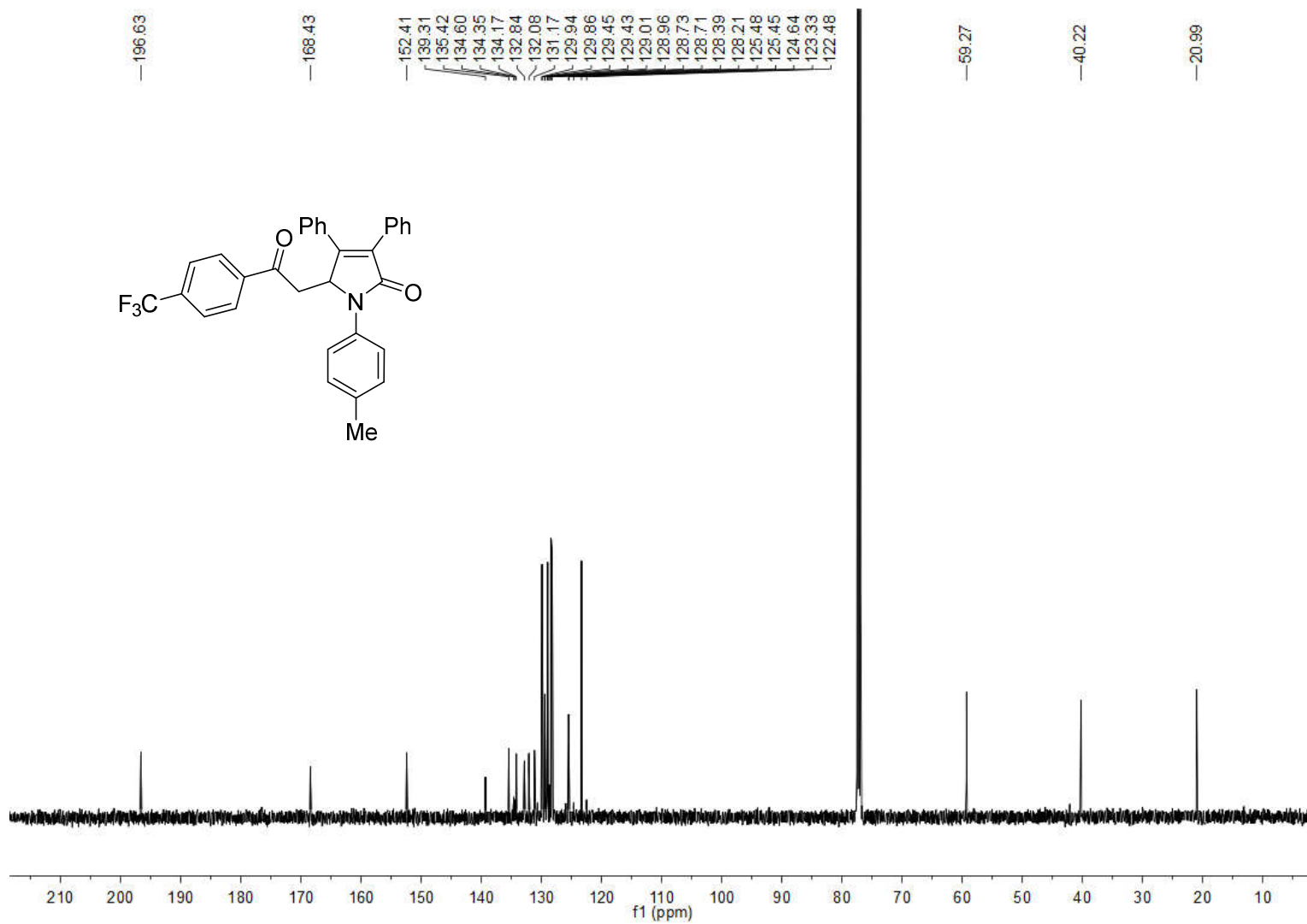


Figure S99. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5q

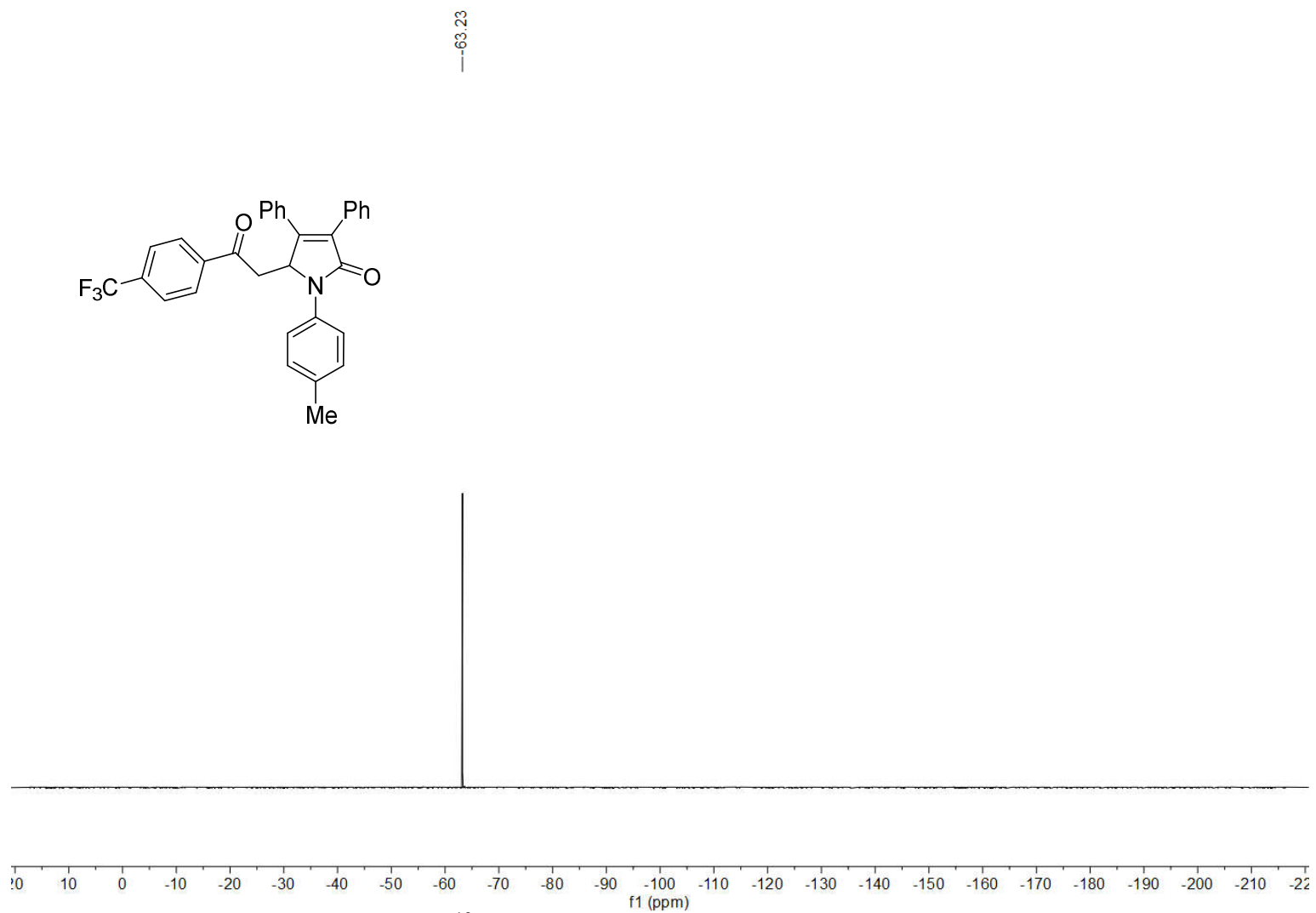




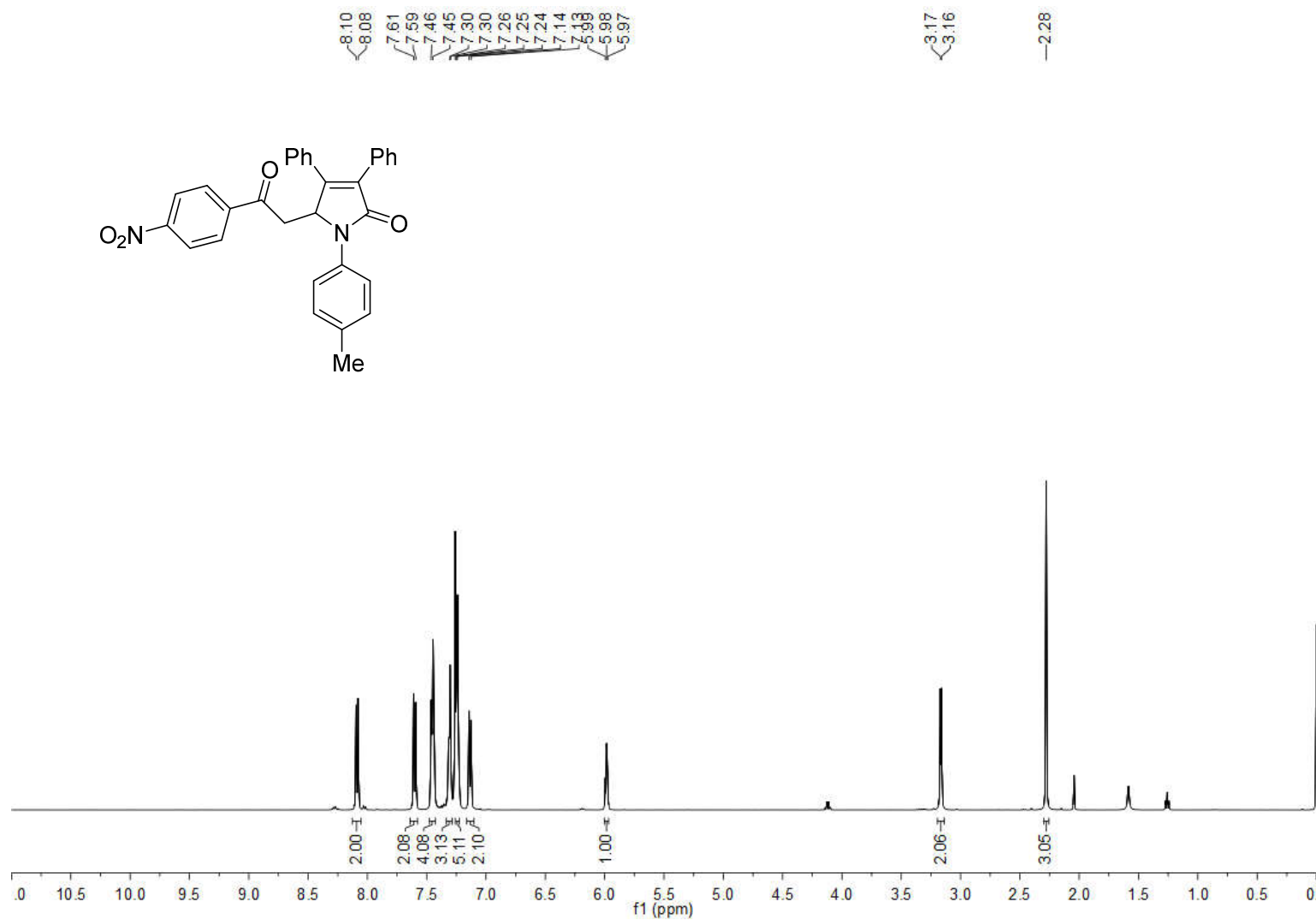
**Figure S100.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5r**



**Figure S101.** <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound **5r**



**Figure S102.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **5r**



**Figure S103.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5s**

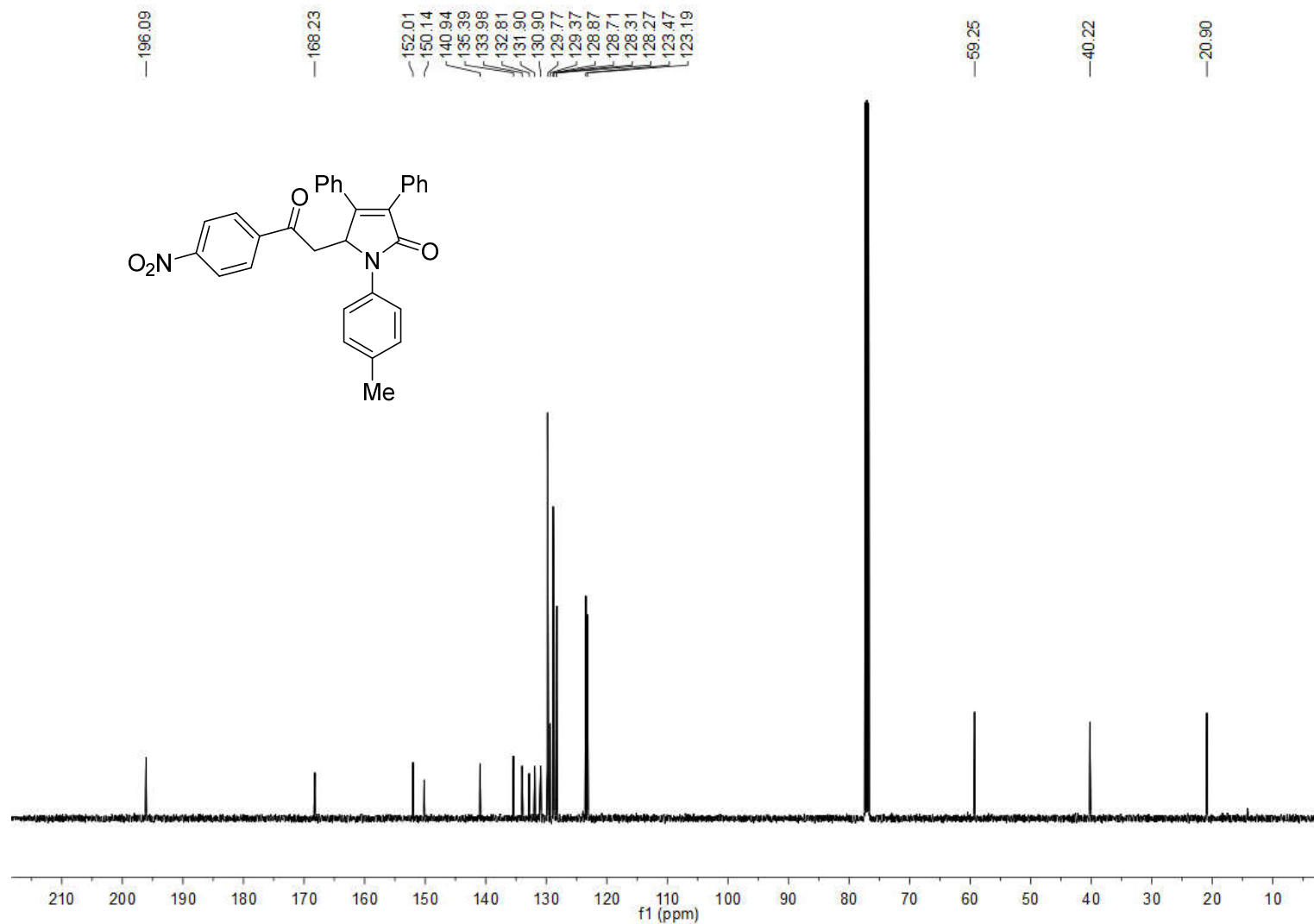


Figure S104. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5s

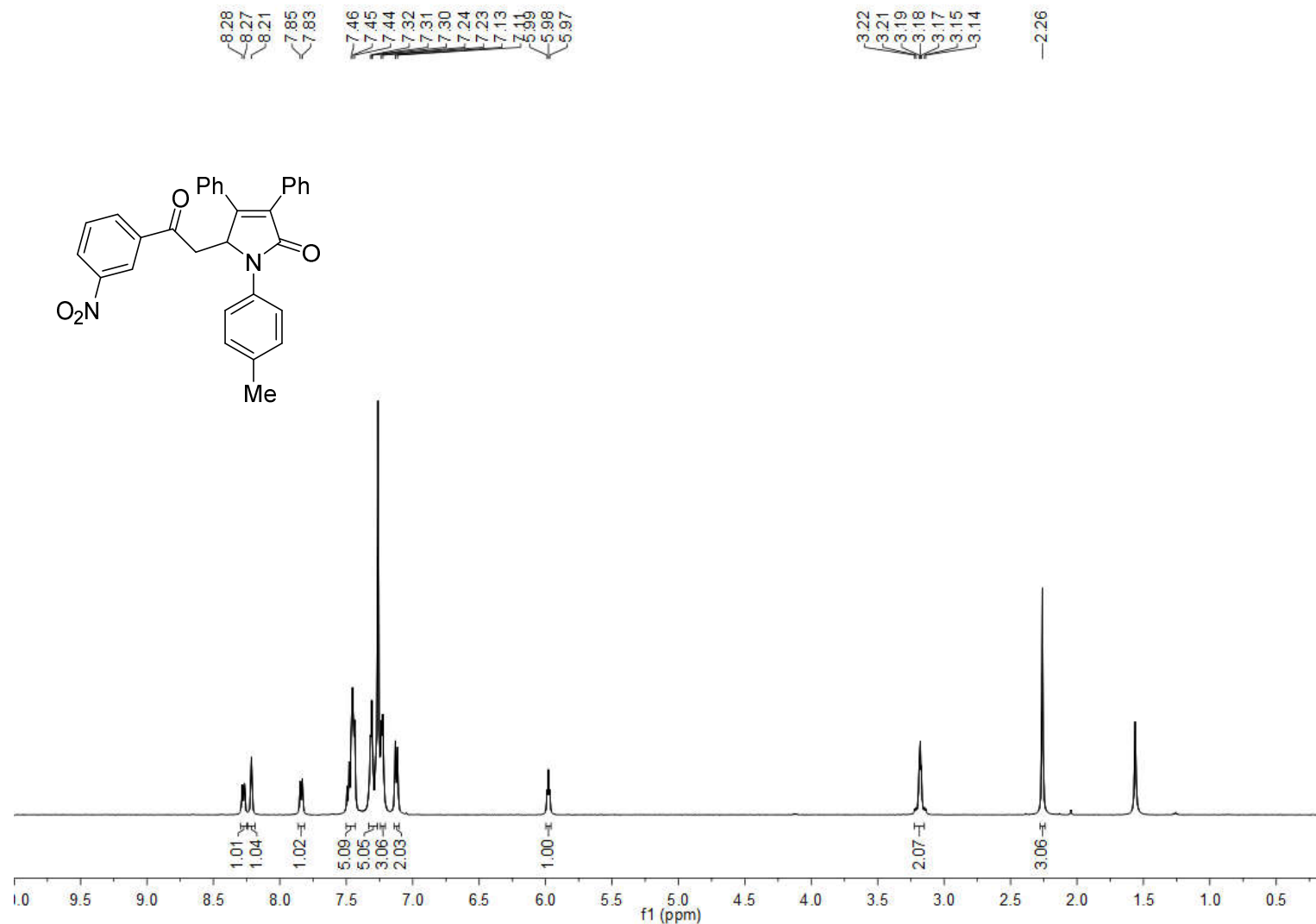


Figure S105. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound 5t

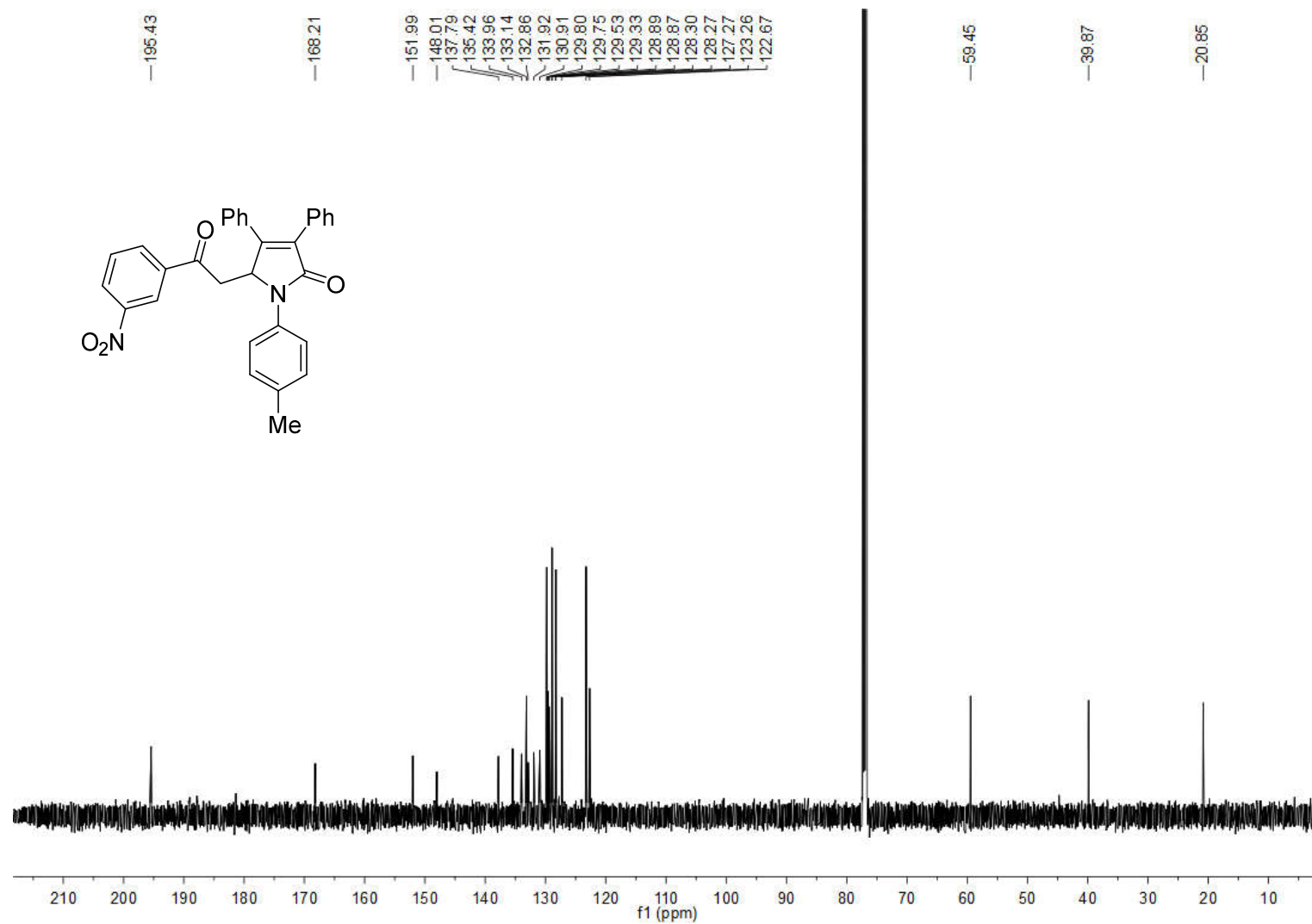


Figure S106. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5t

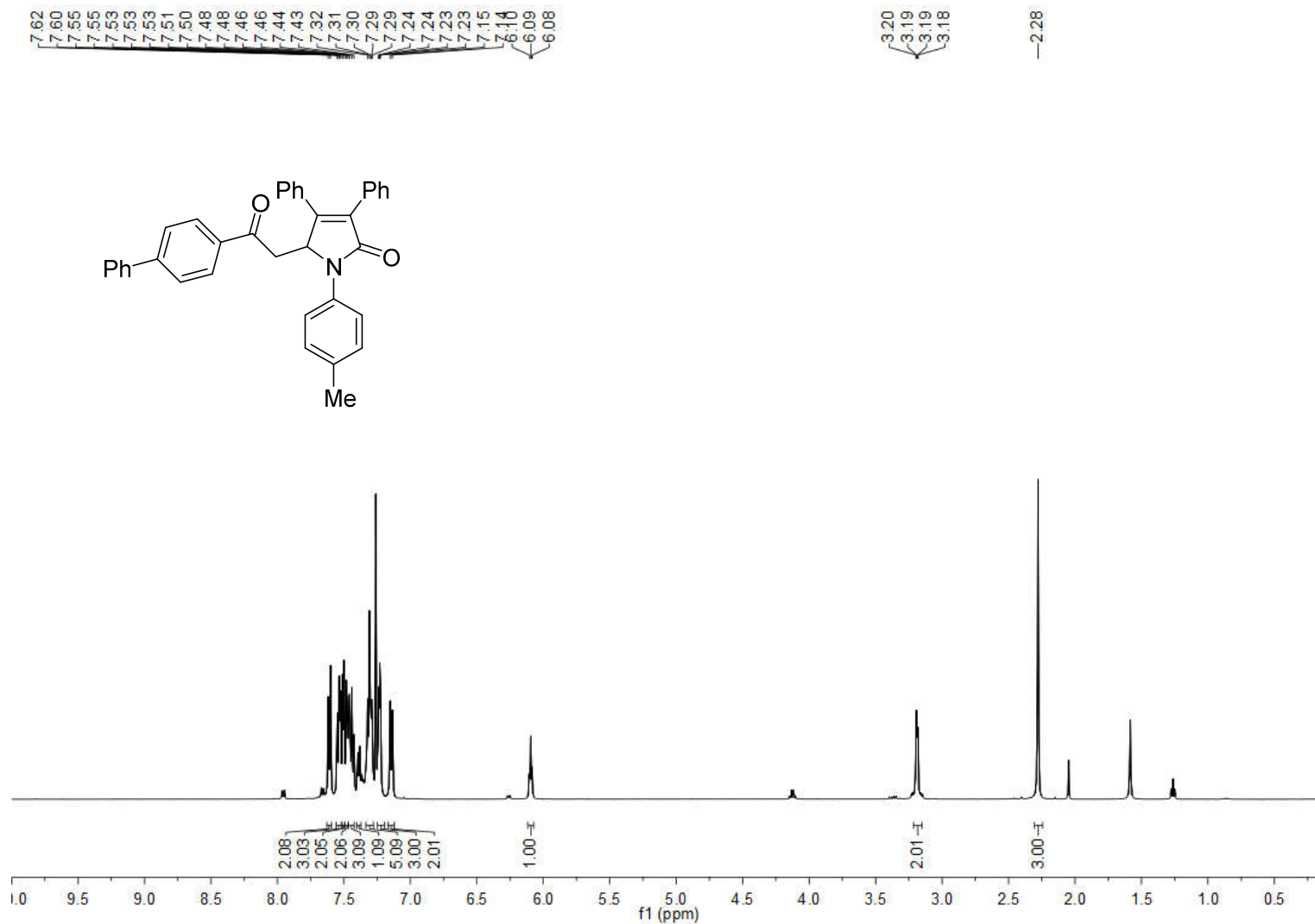


Figure S107. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5u**



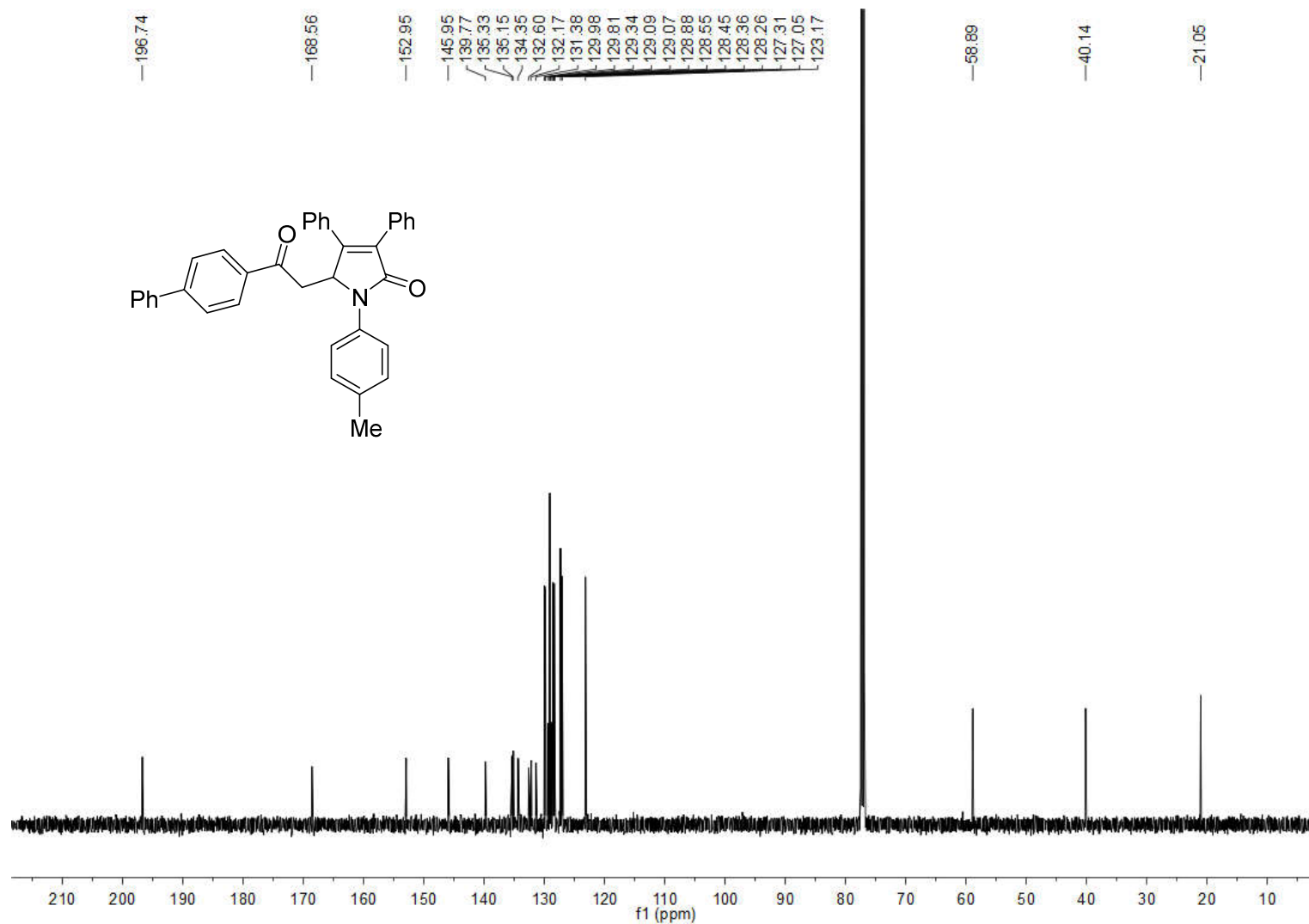
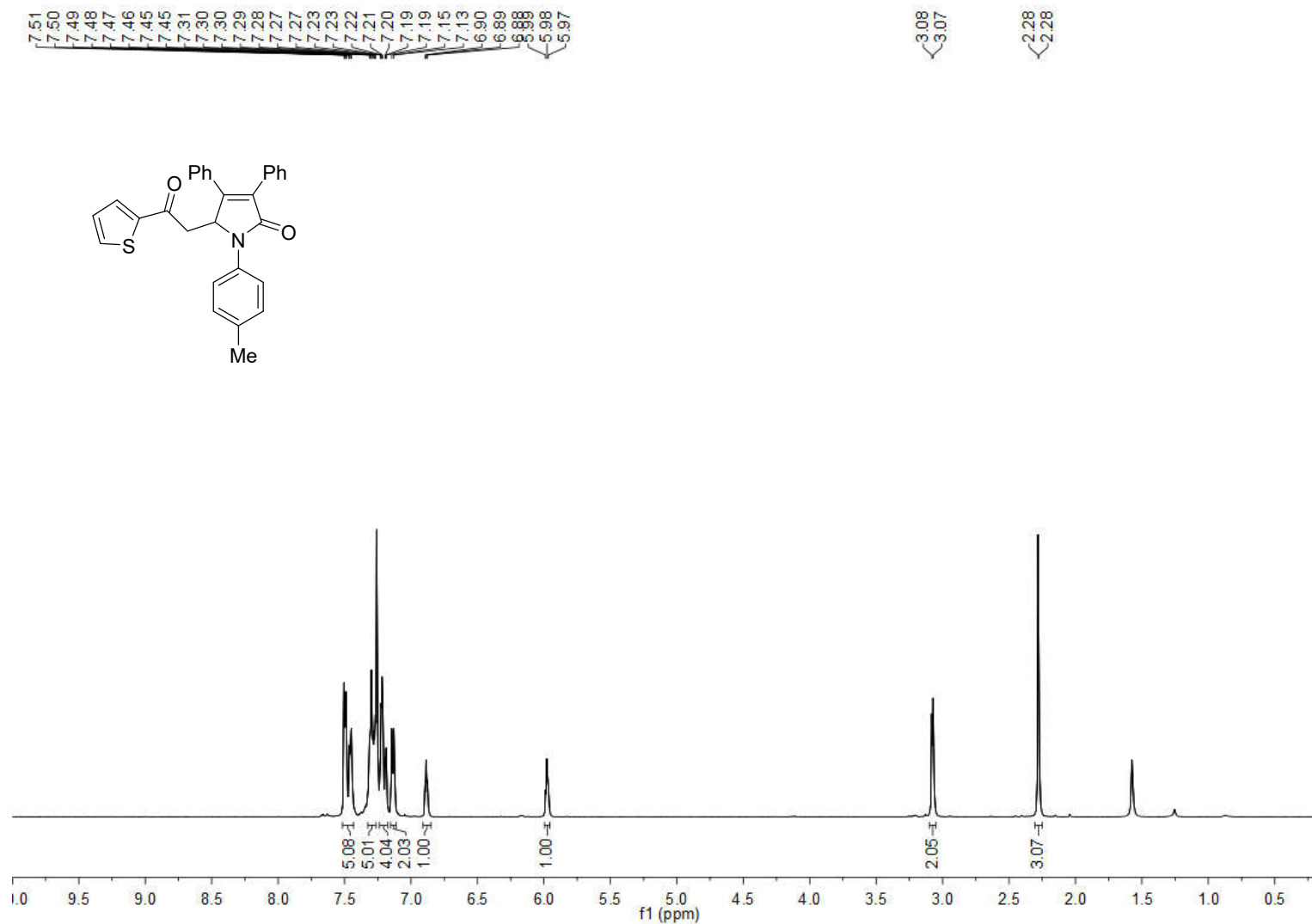


Figure S108. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5u



**Figure S109.**  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ) spectra of compound **5v**

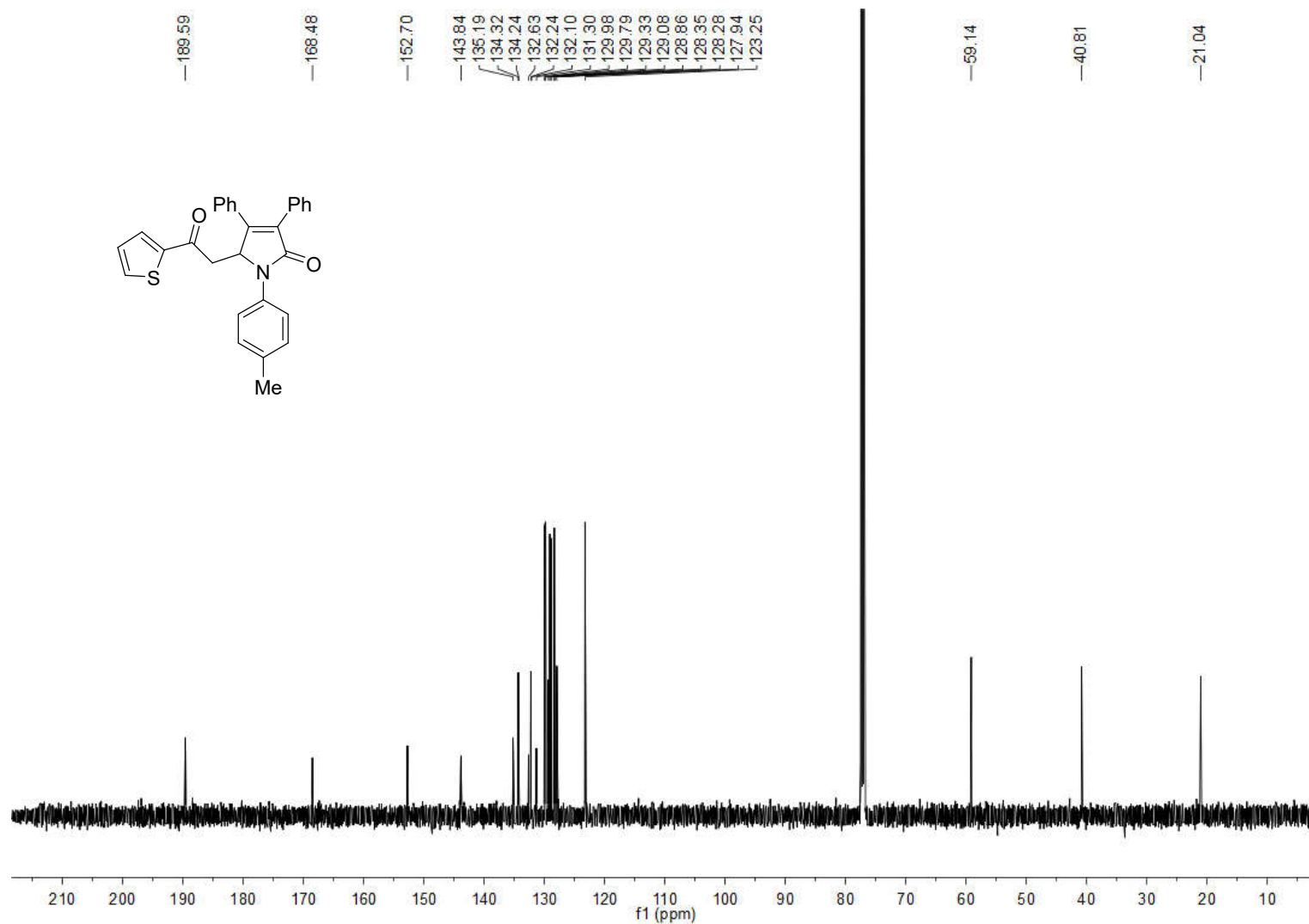
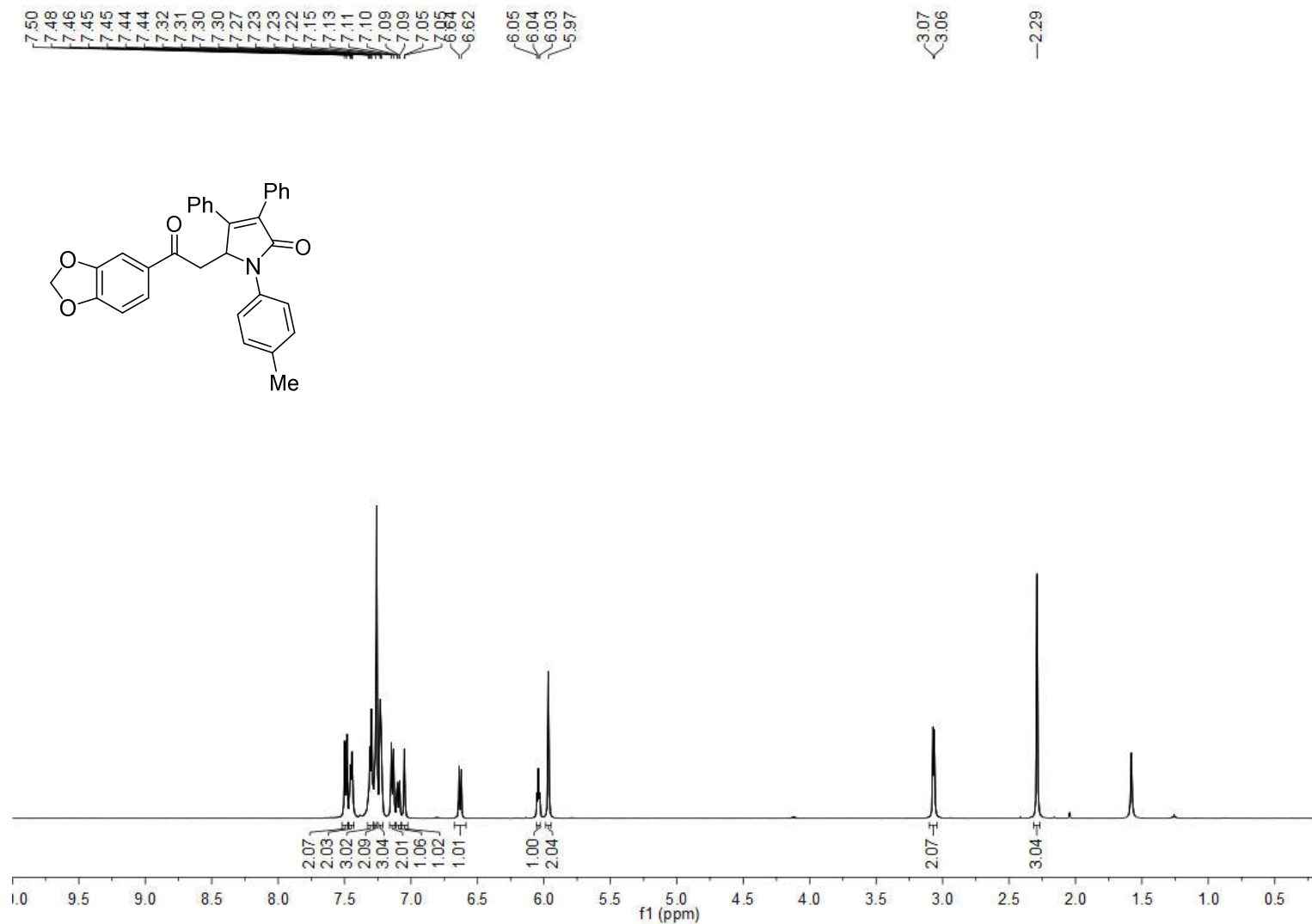


Figure S110. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5v



**Figure S111.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5w**

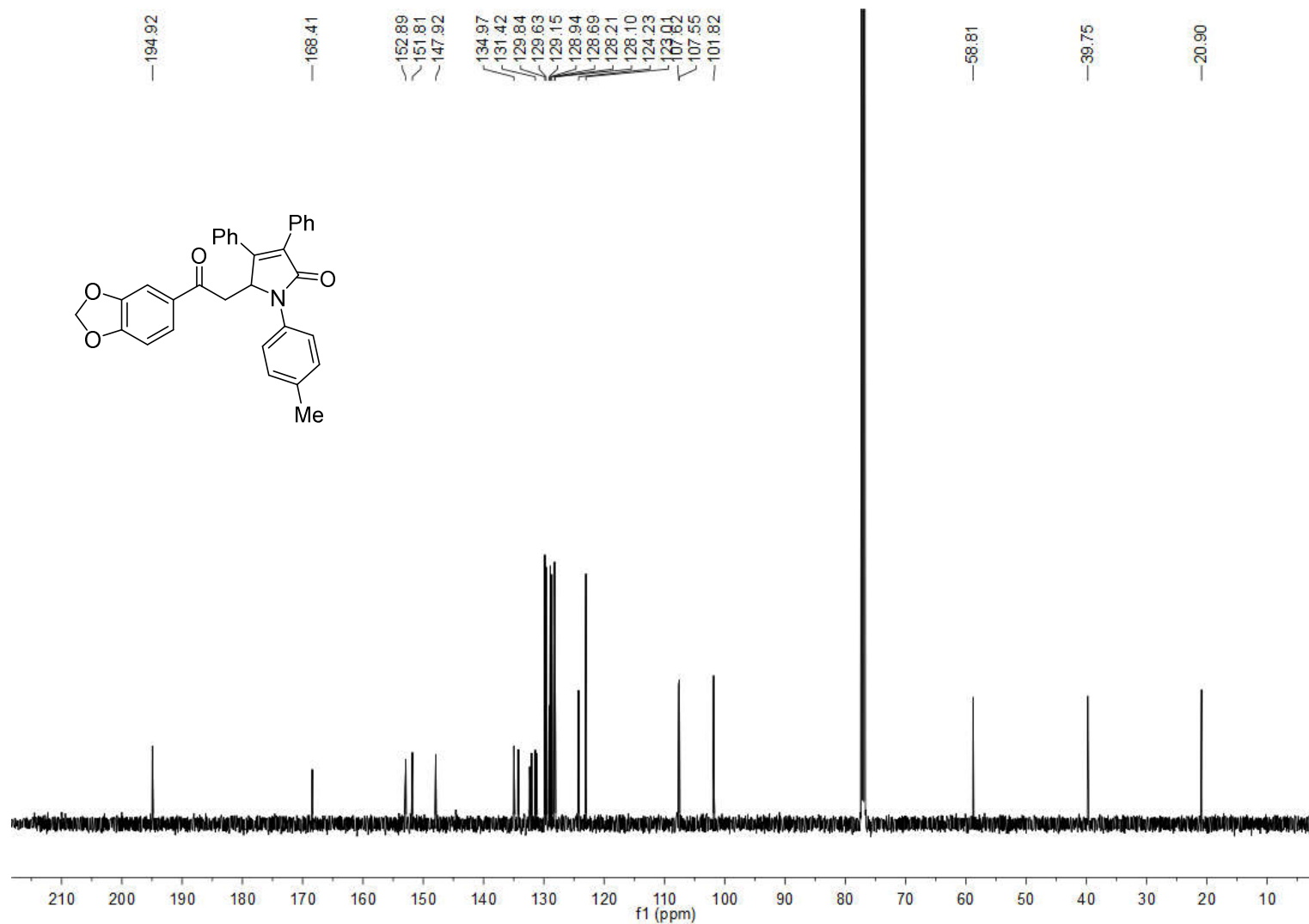
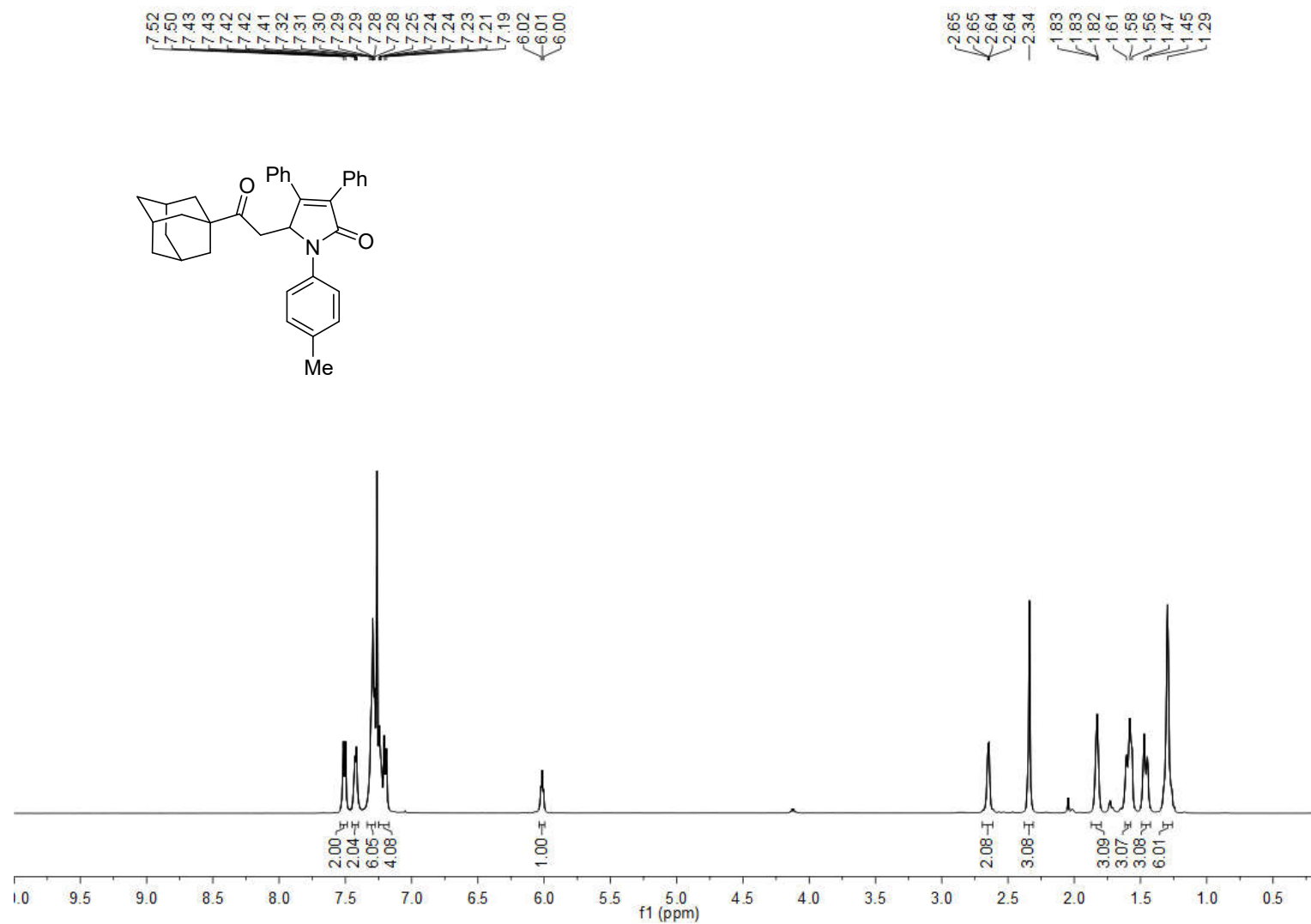


Figure S112. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5w



**Figure S113.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5x**

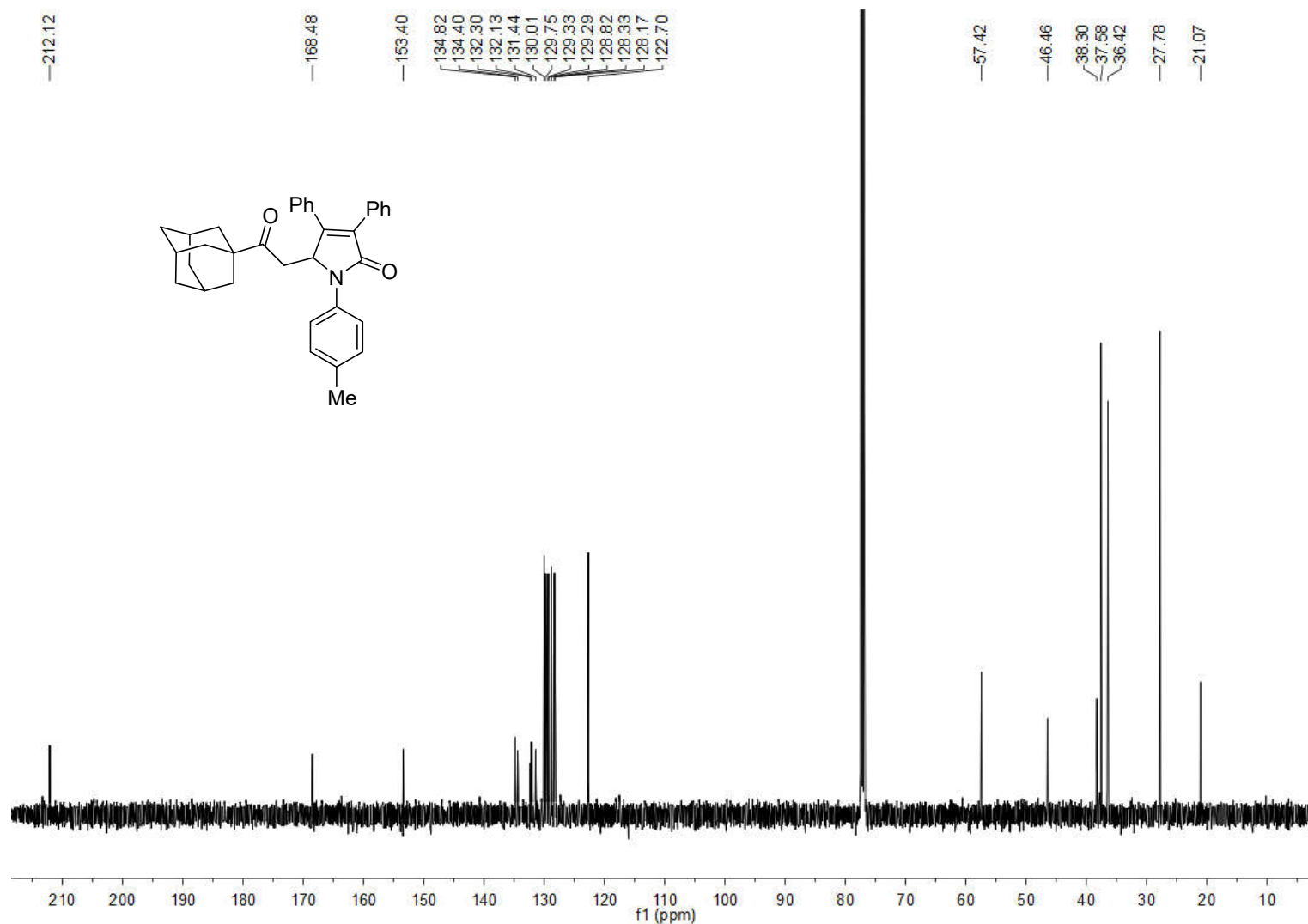


Figure S114.  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectra of compound 5x

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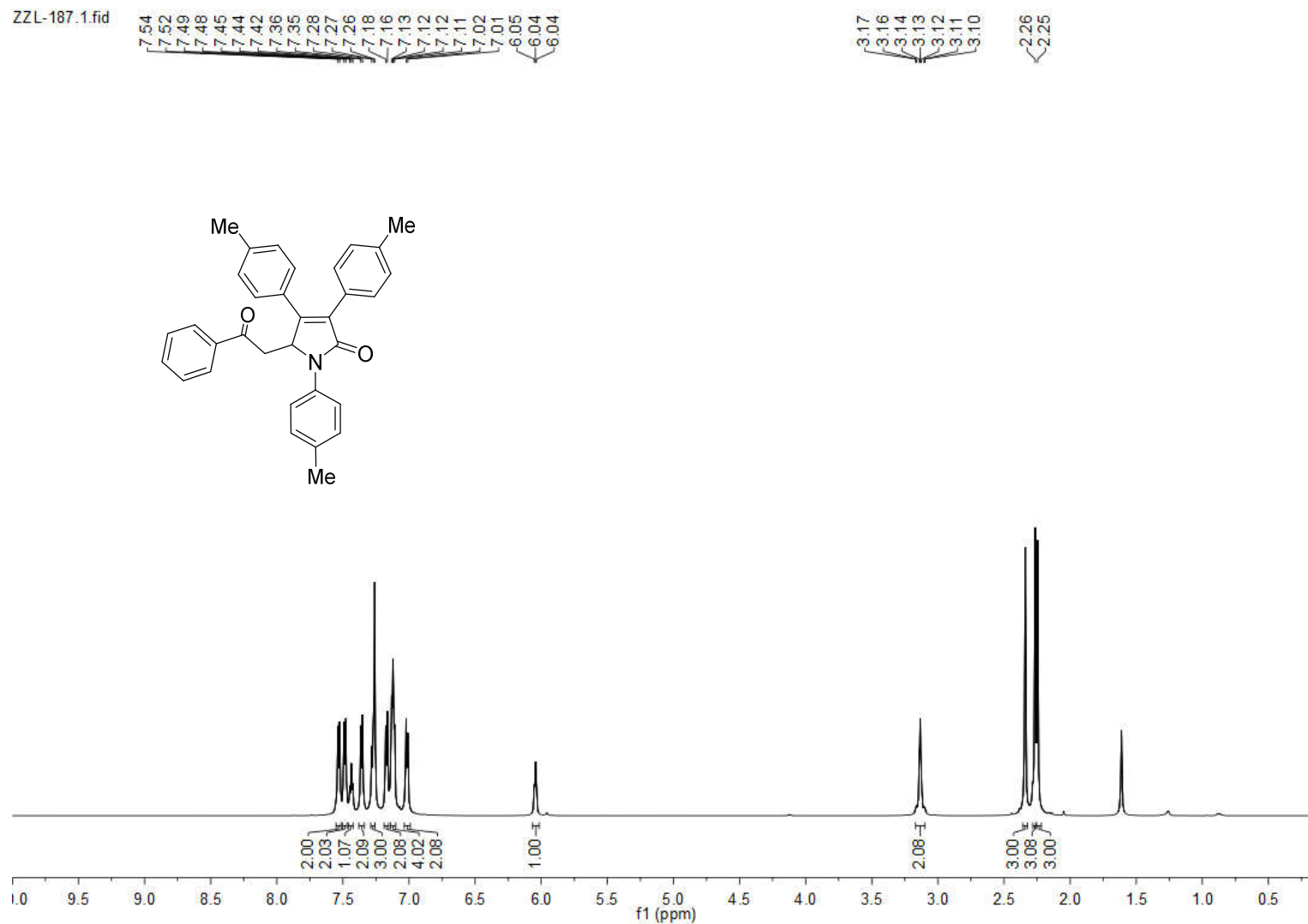


Figure S115. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 5y



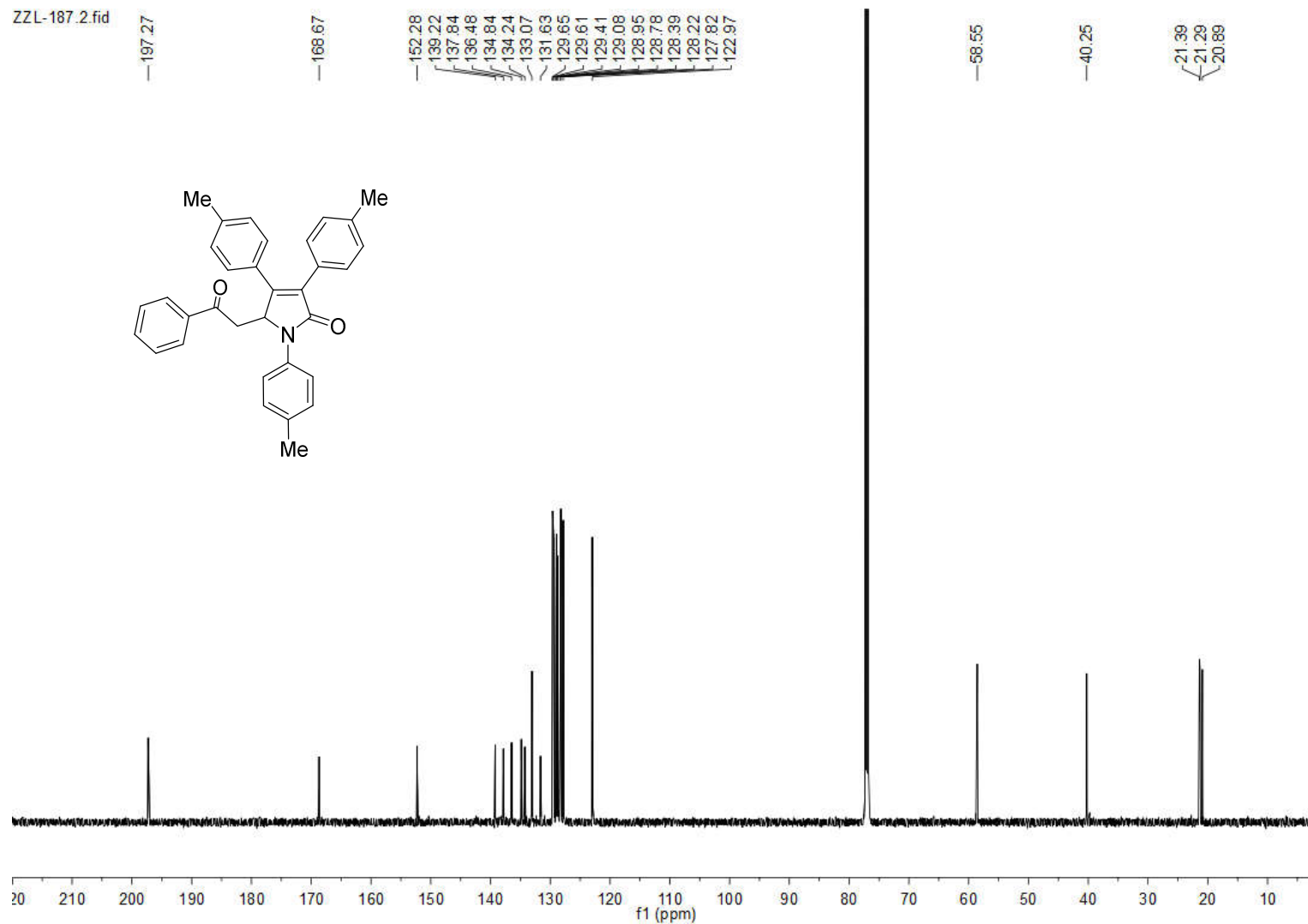
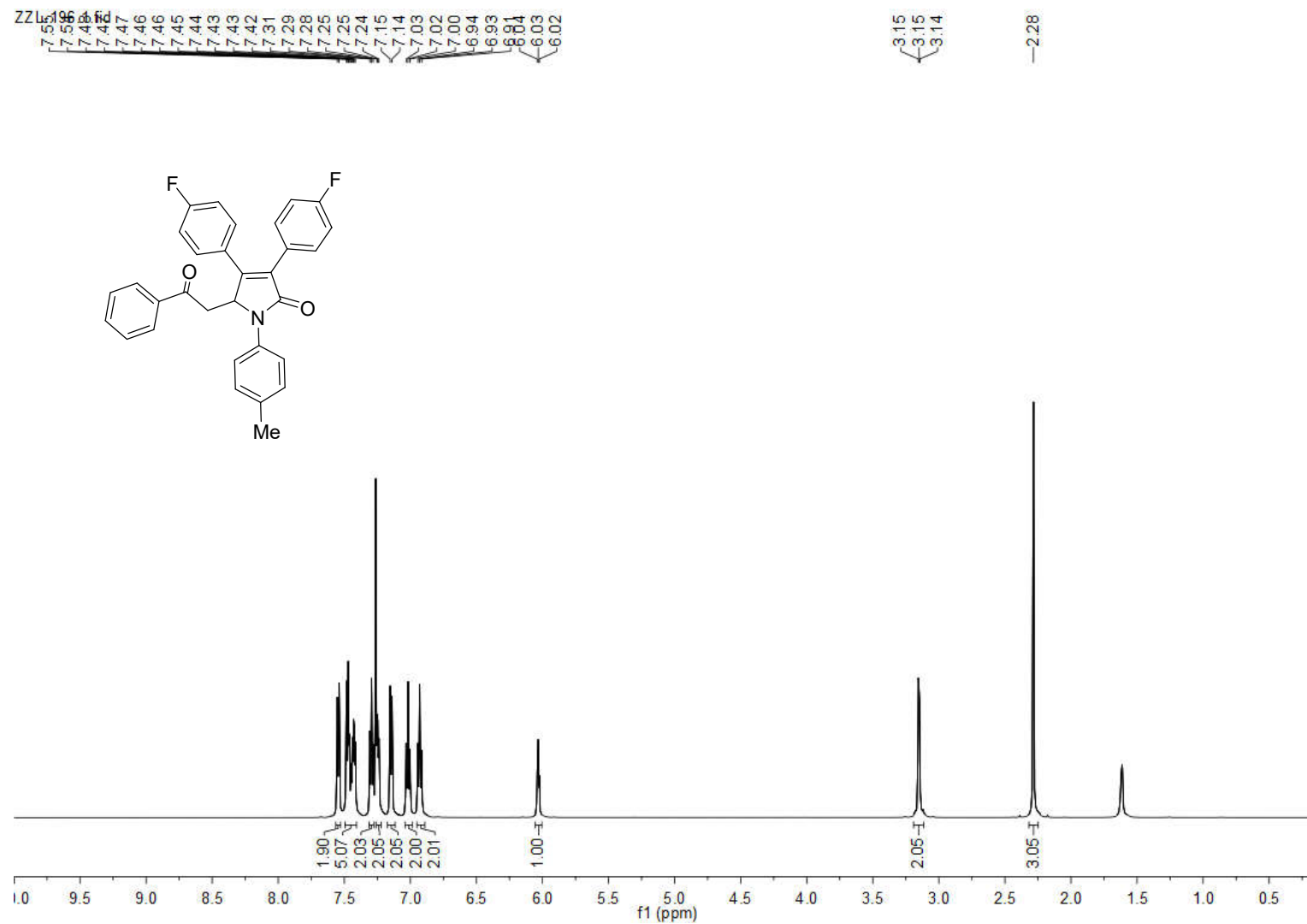
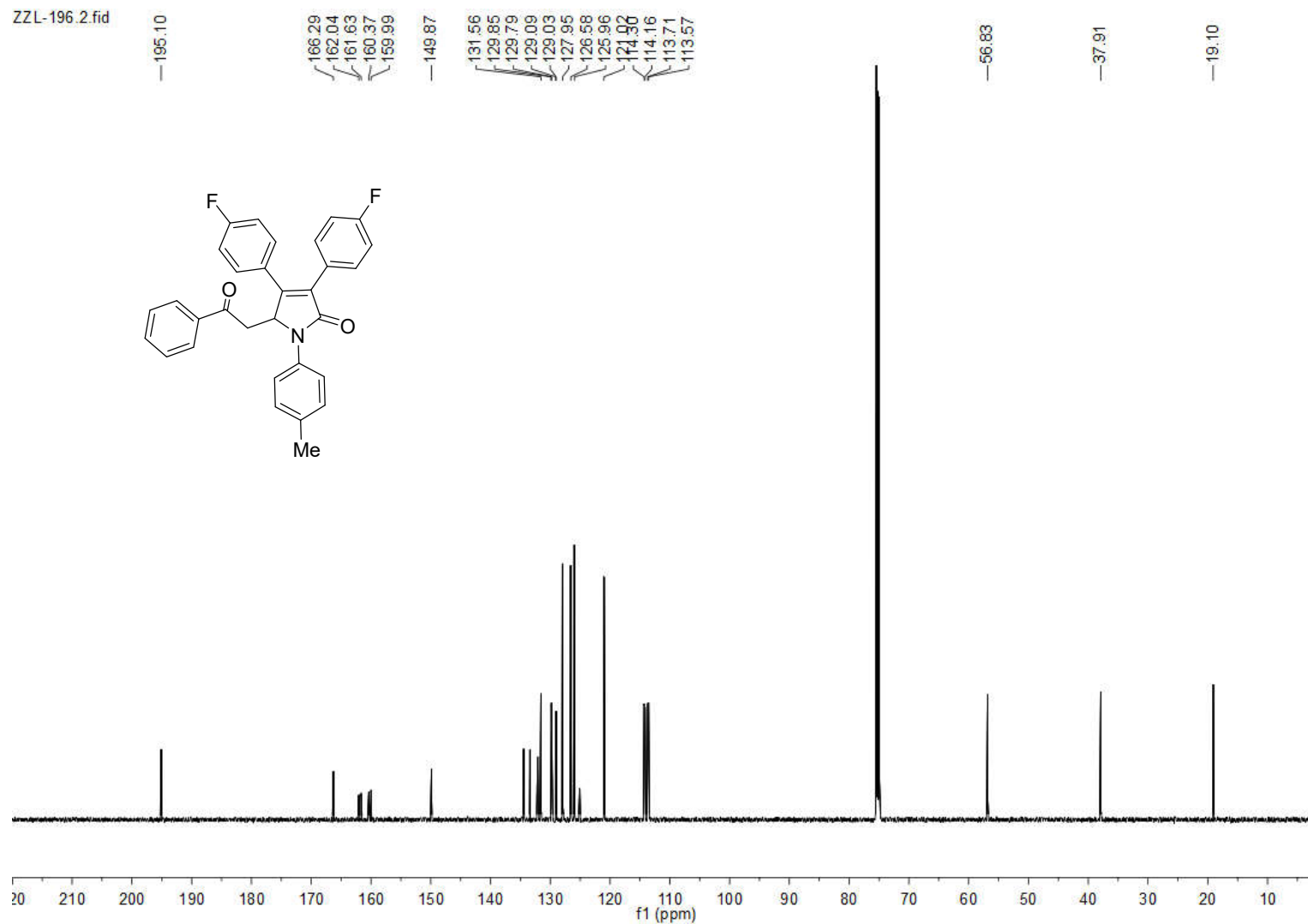


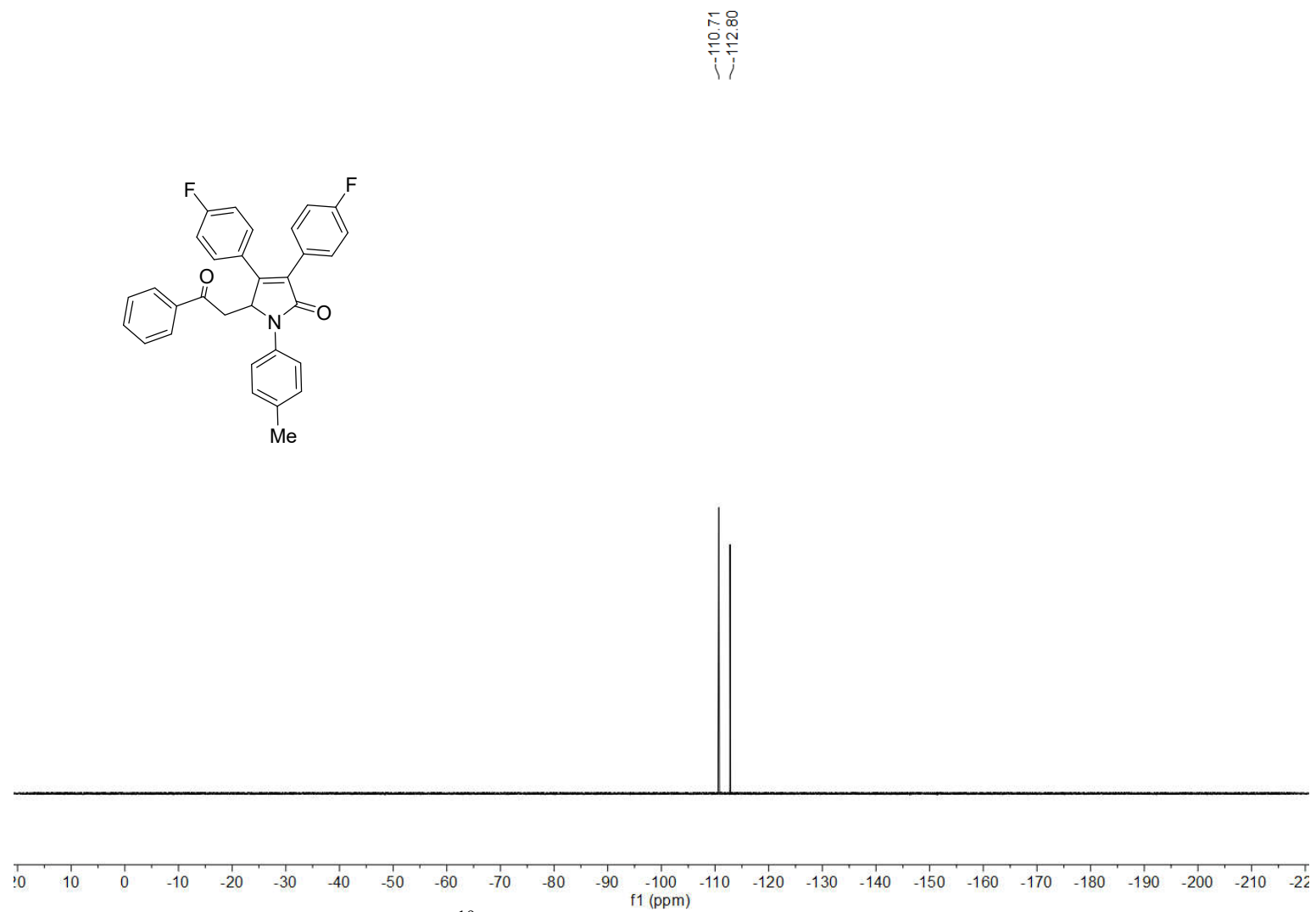
Figure S116.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound 5y



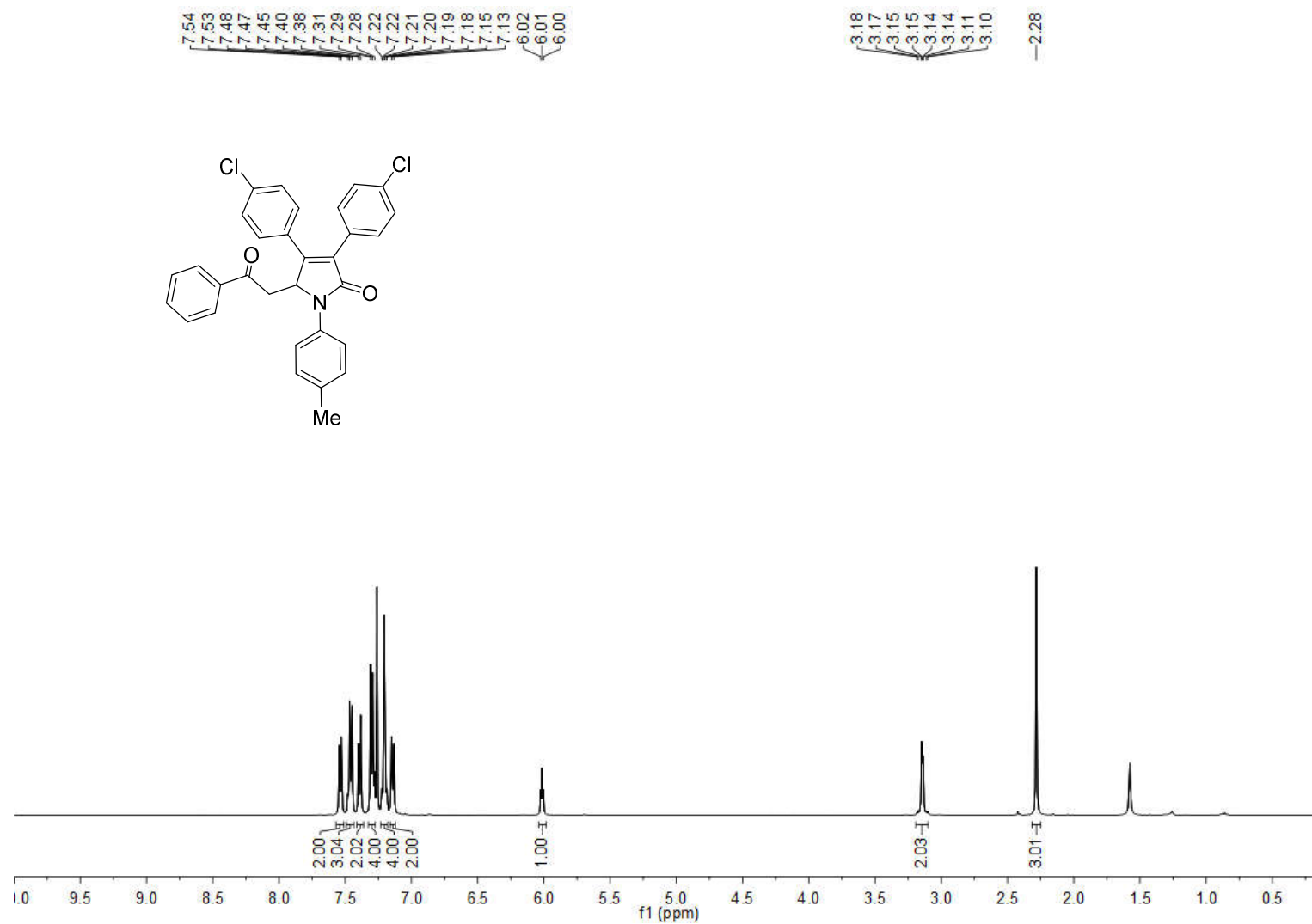
**Figure S117.**  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ ) spectra of compound **5z**



**Figure S118.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **5z**



**Figure S119.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **5z**



**Figure S120.** <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectra of compound **5a'**

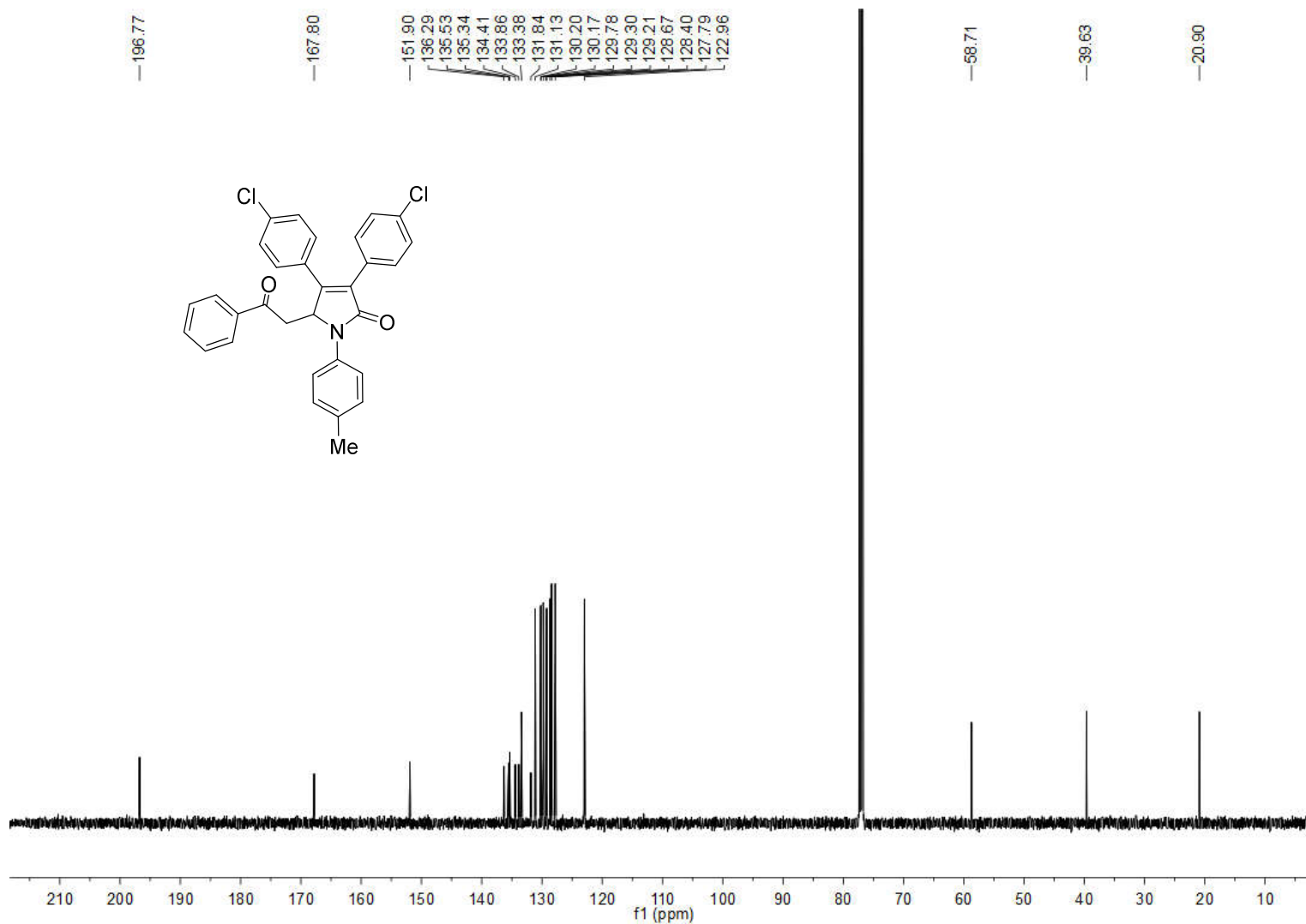
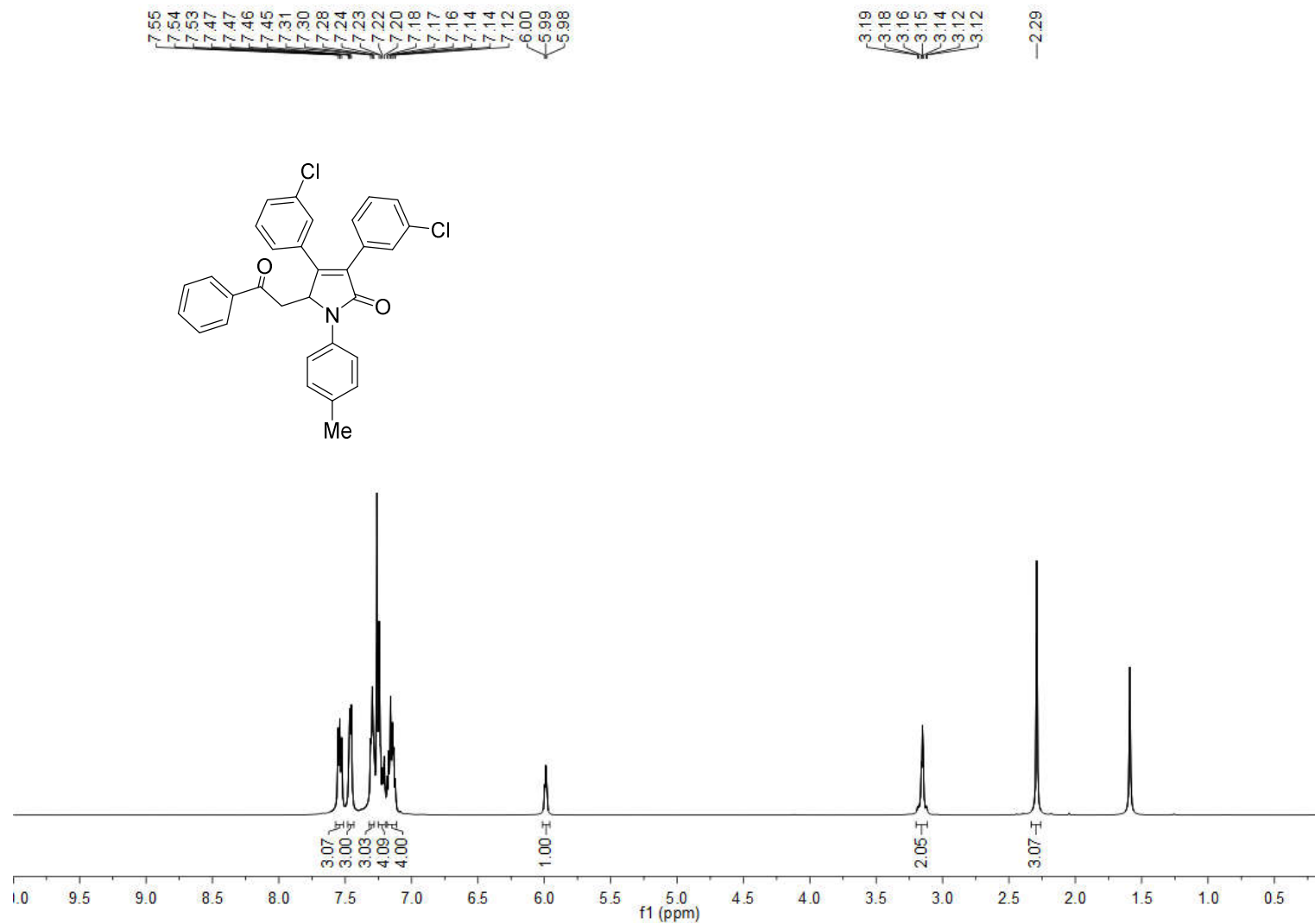


Figure S121. <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound 5a'



**Figure S122.** <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound **5b'**

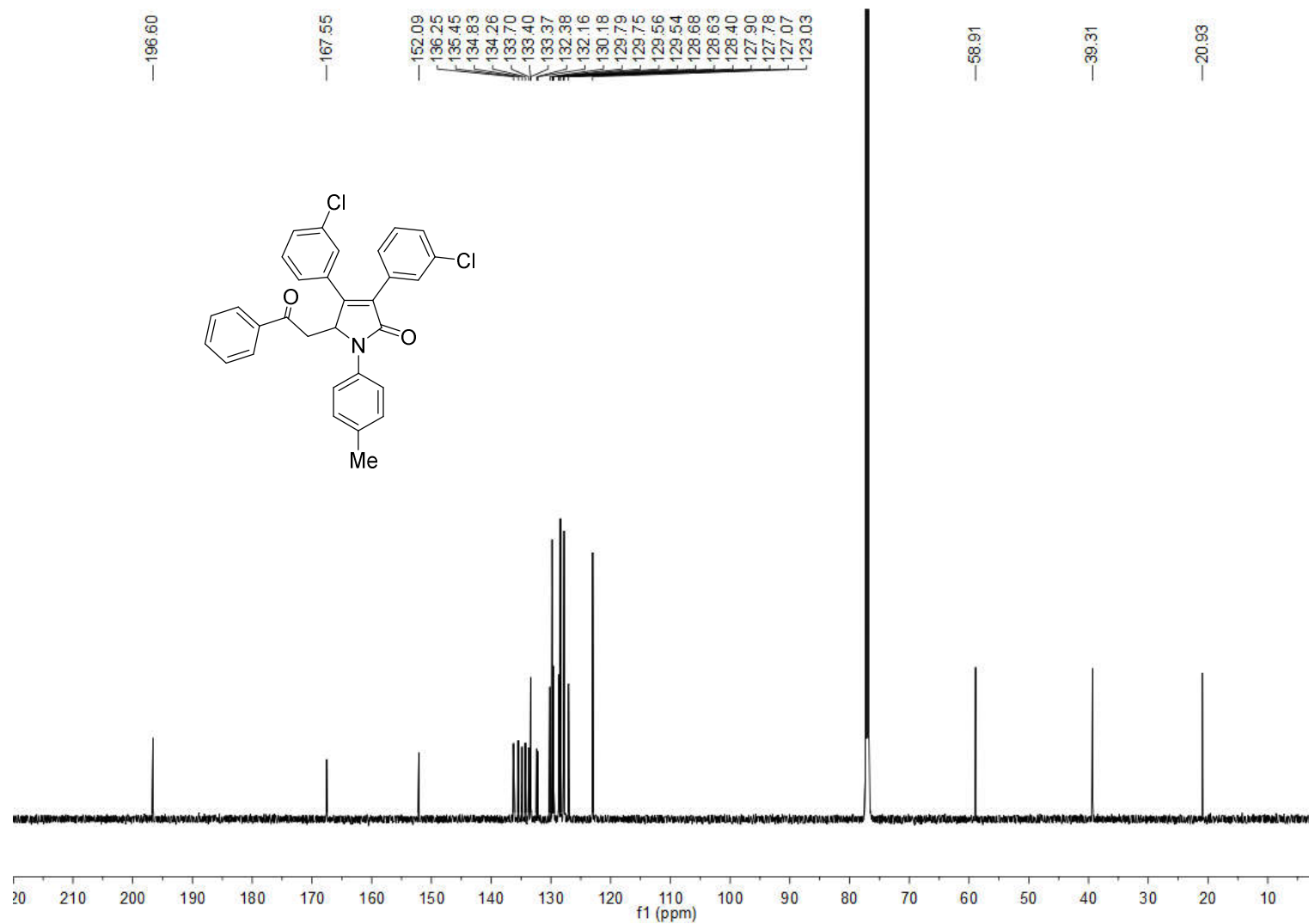


Figure S123. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound **5b'**



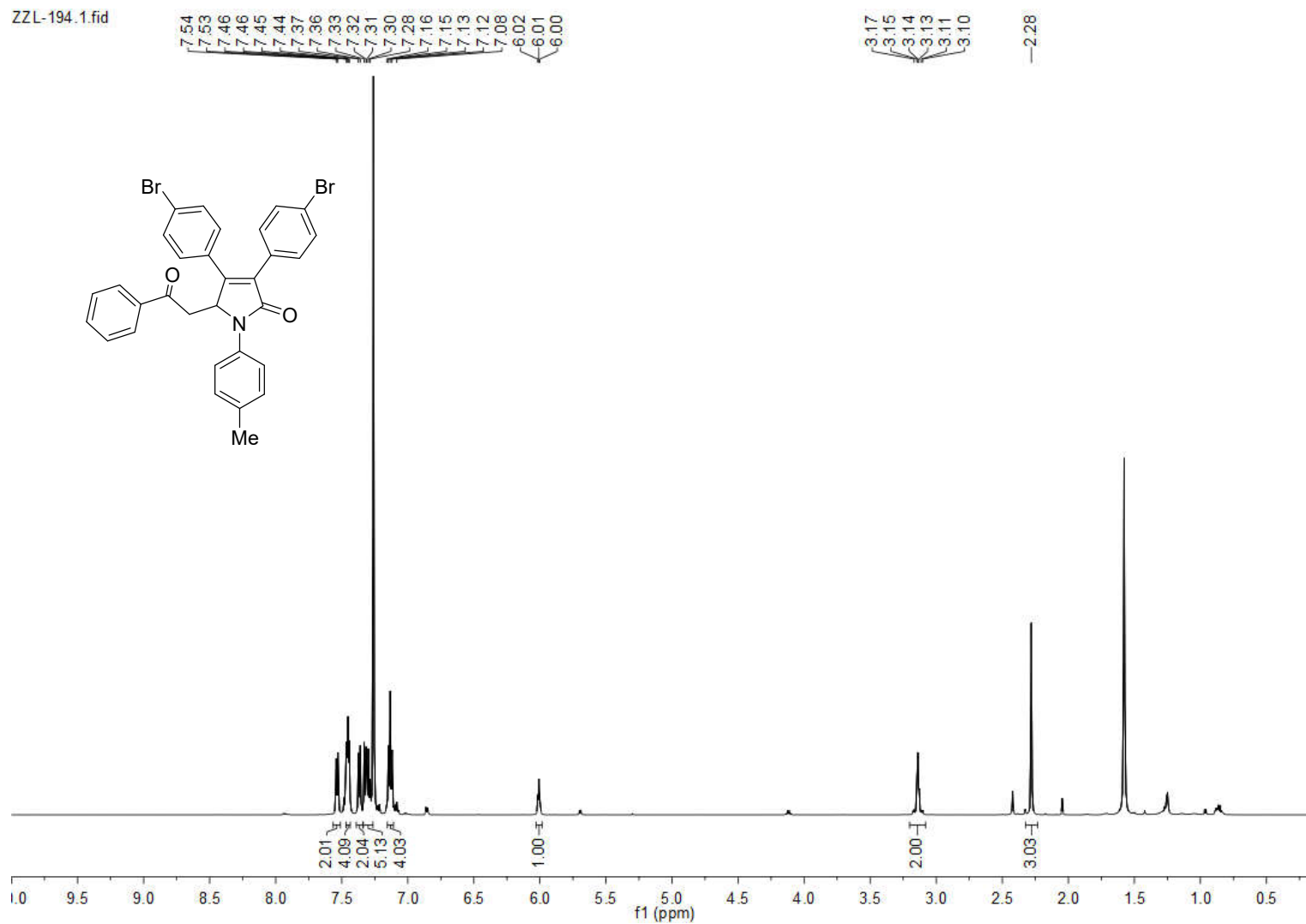


Figure S124. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 5c'

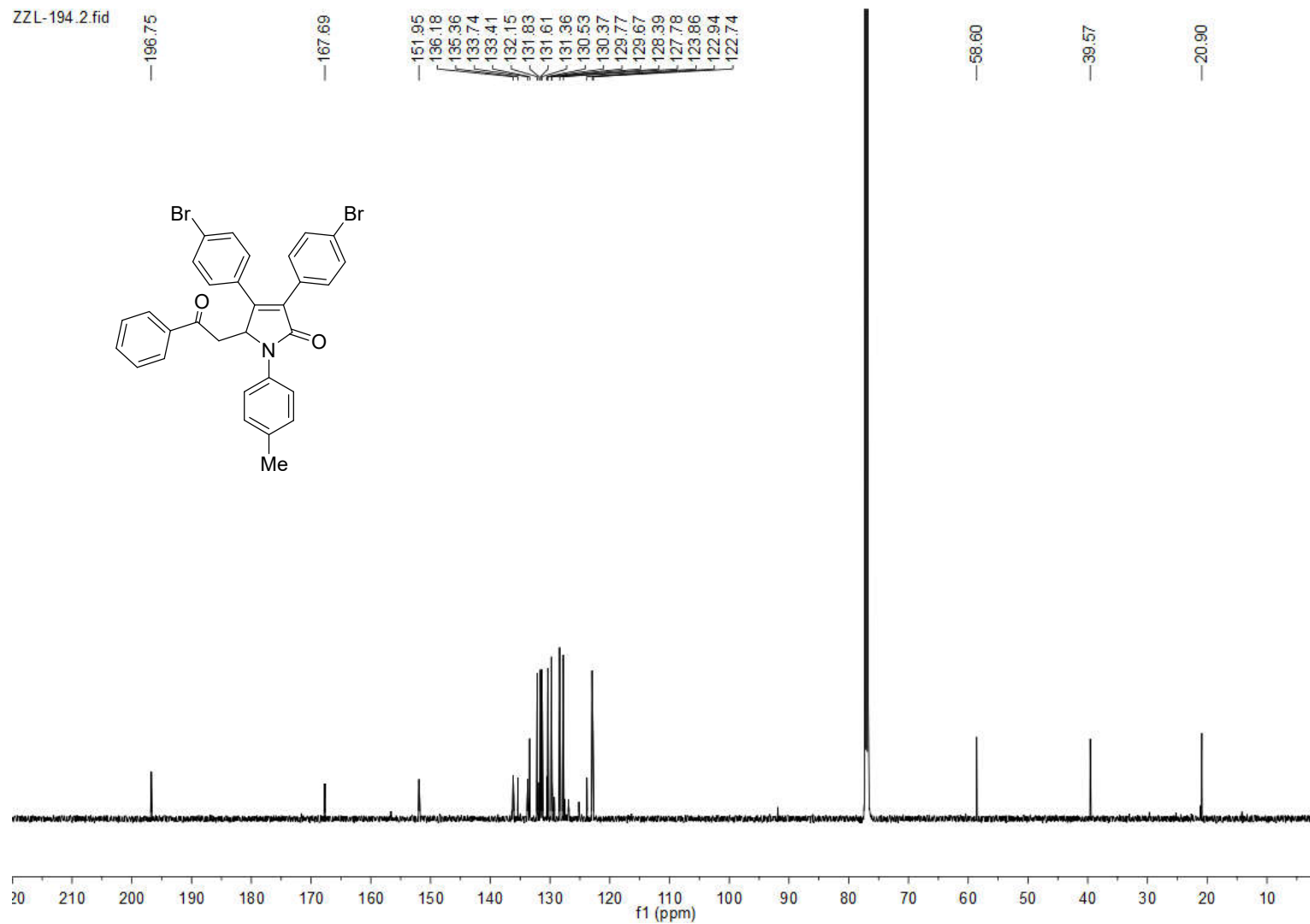
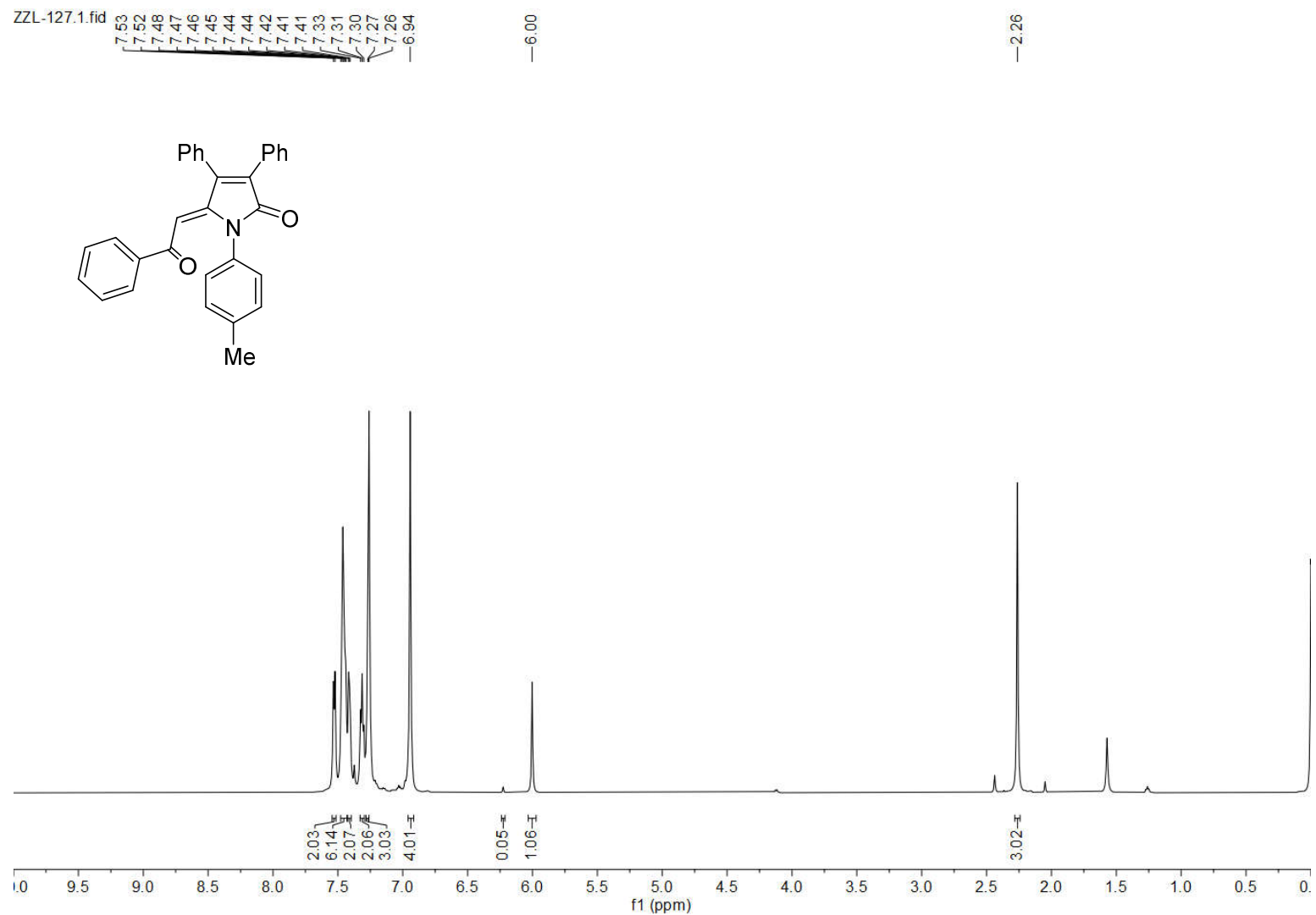


Figure S125.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **5c'**



**Figure S126.**  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound **7a**

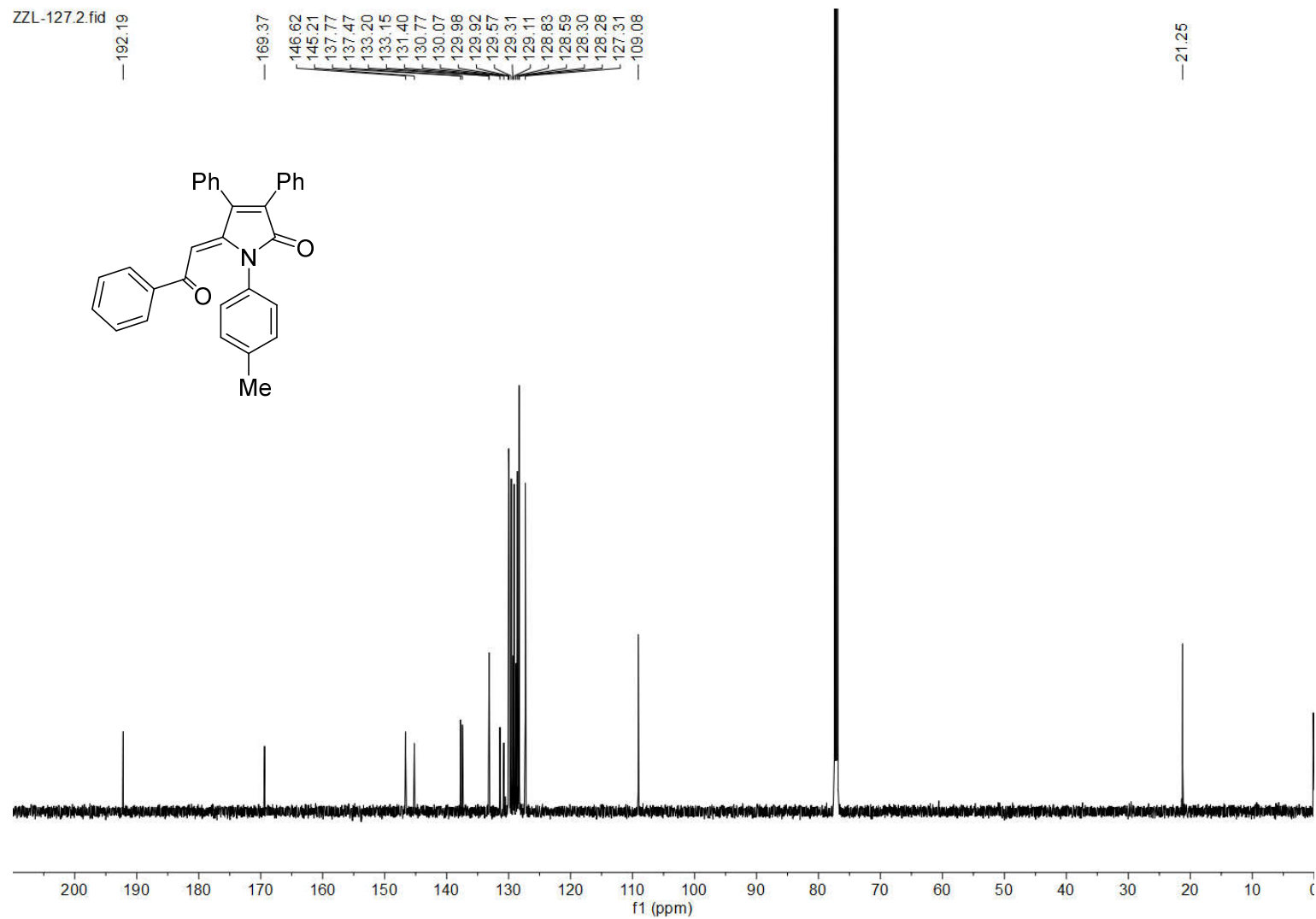


Figure S127.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound 7a

zzl-175.1.fid

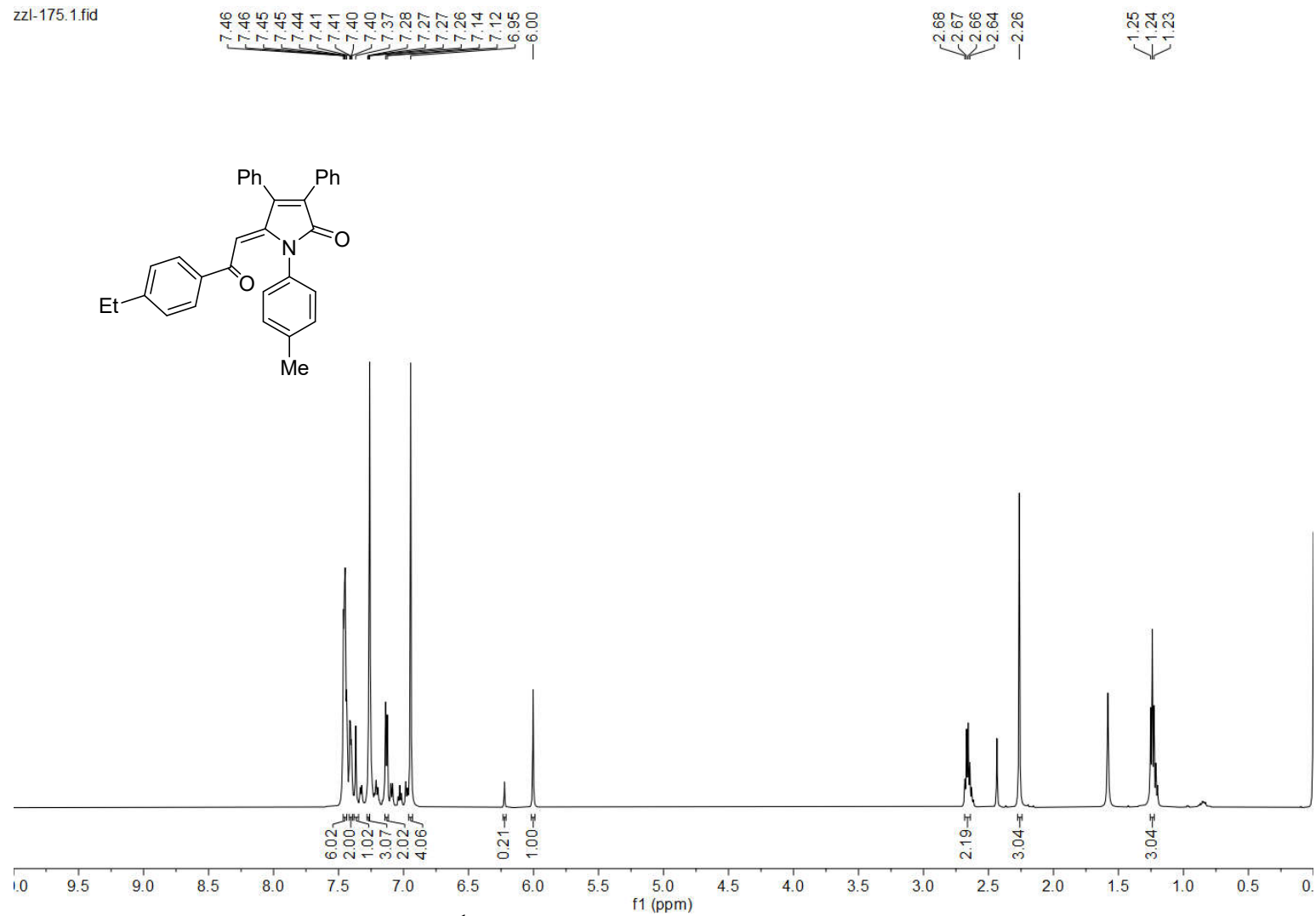


Figure S128.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound 7b

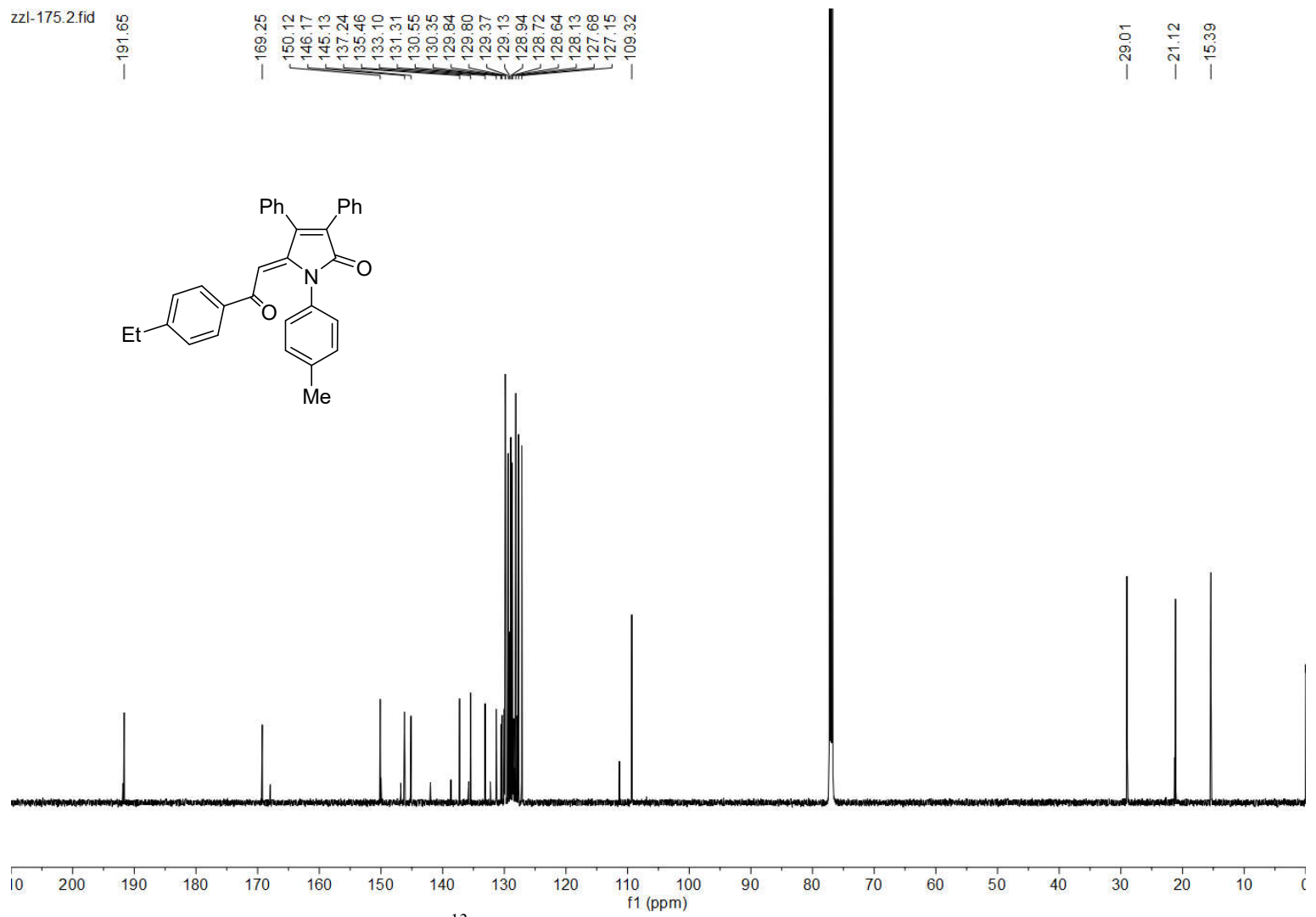


Figure S129. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7b

zzl-173.1.fid

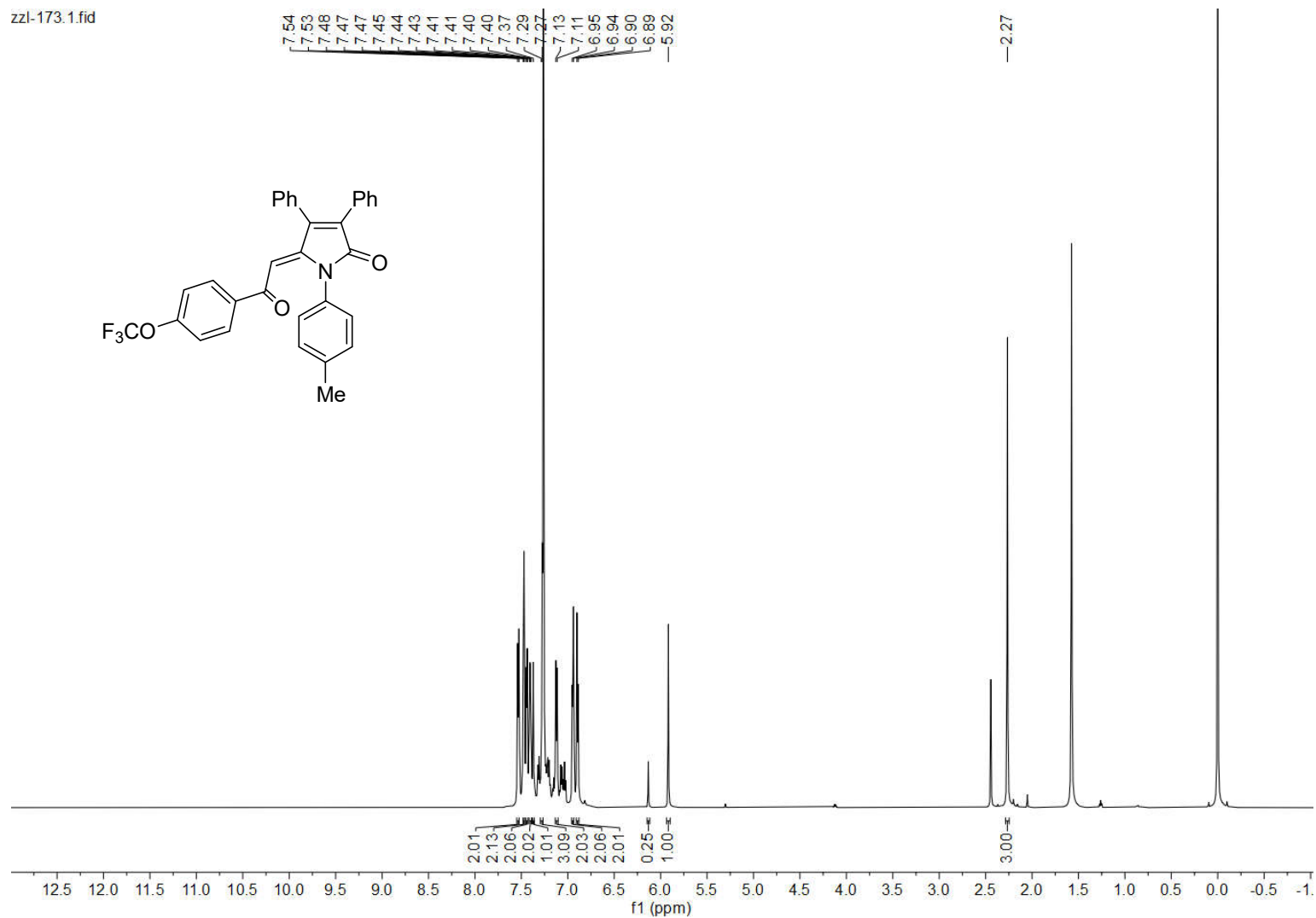


Figure S130.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound 7c

zsl-173.2.fid

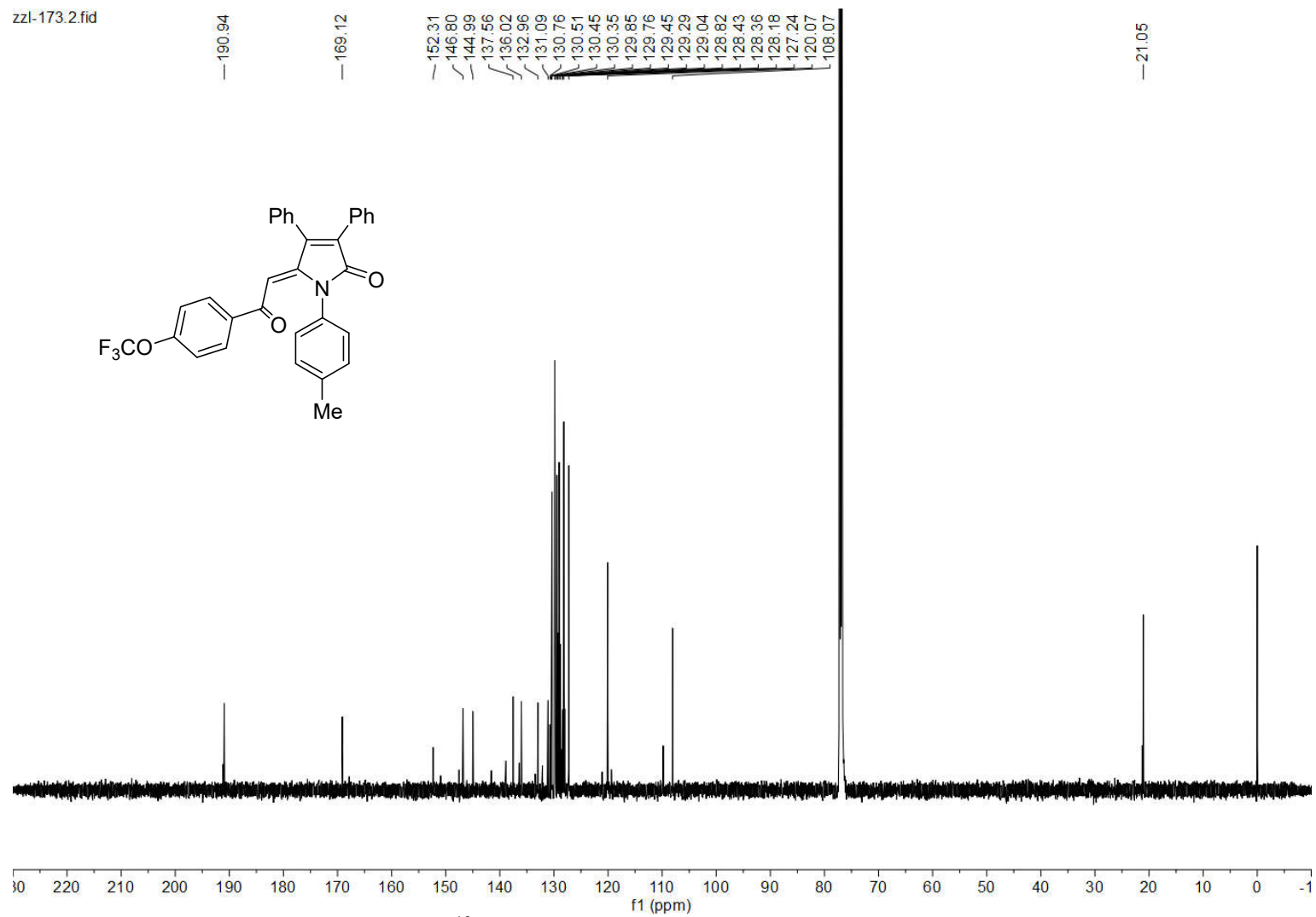
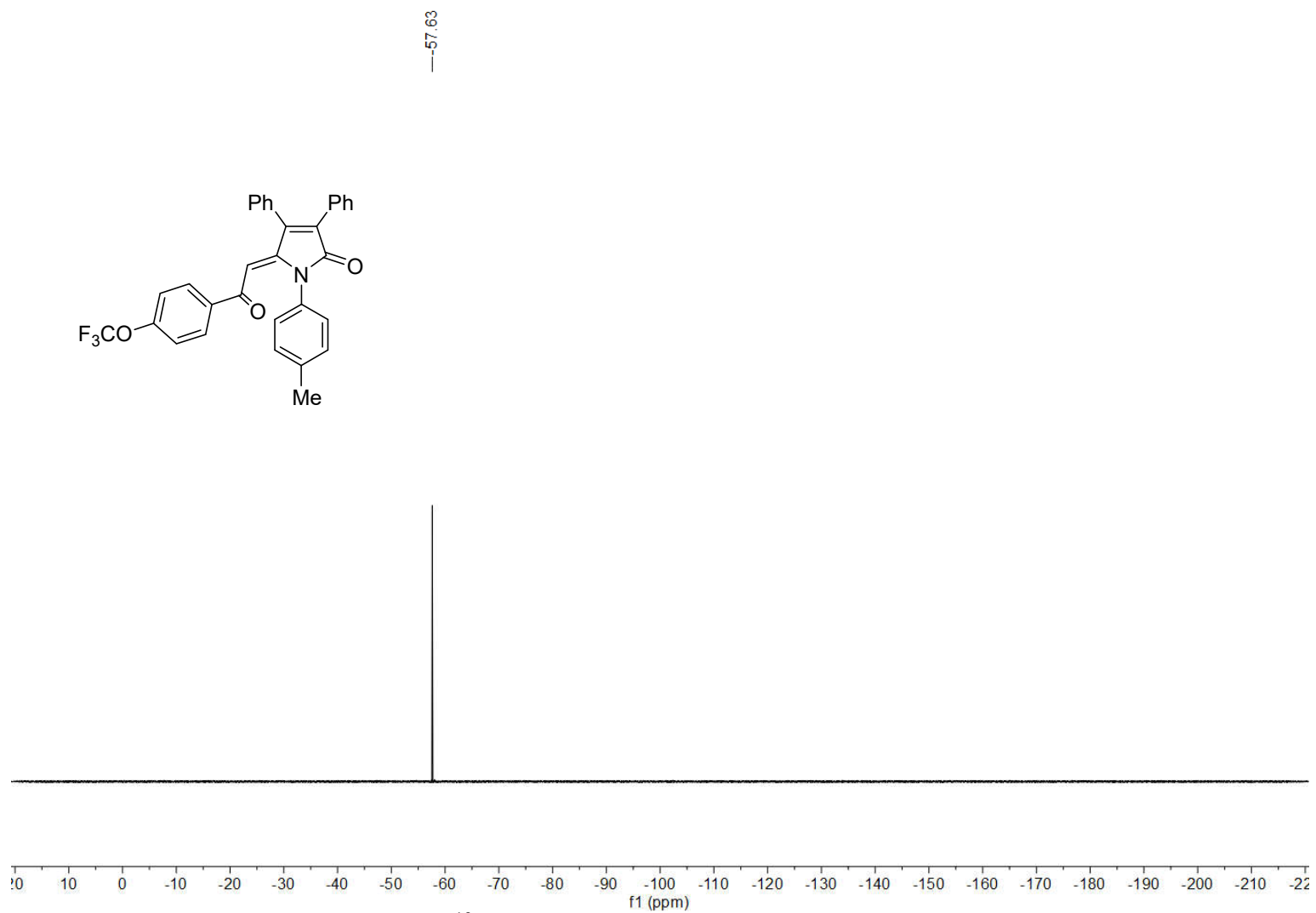


Figure S131. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7c





**Figure S132.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **7c**

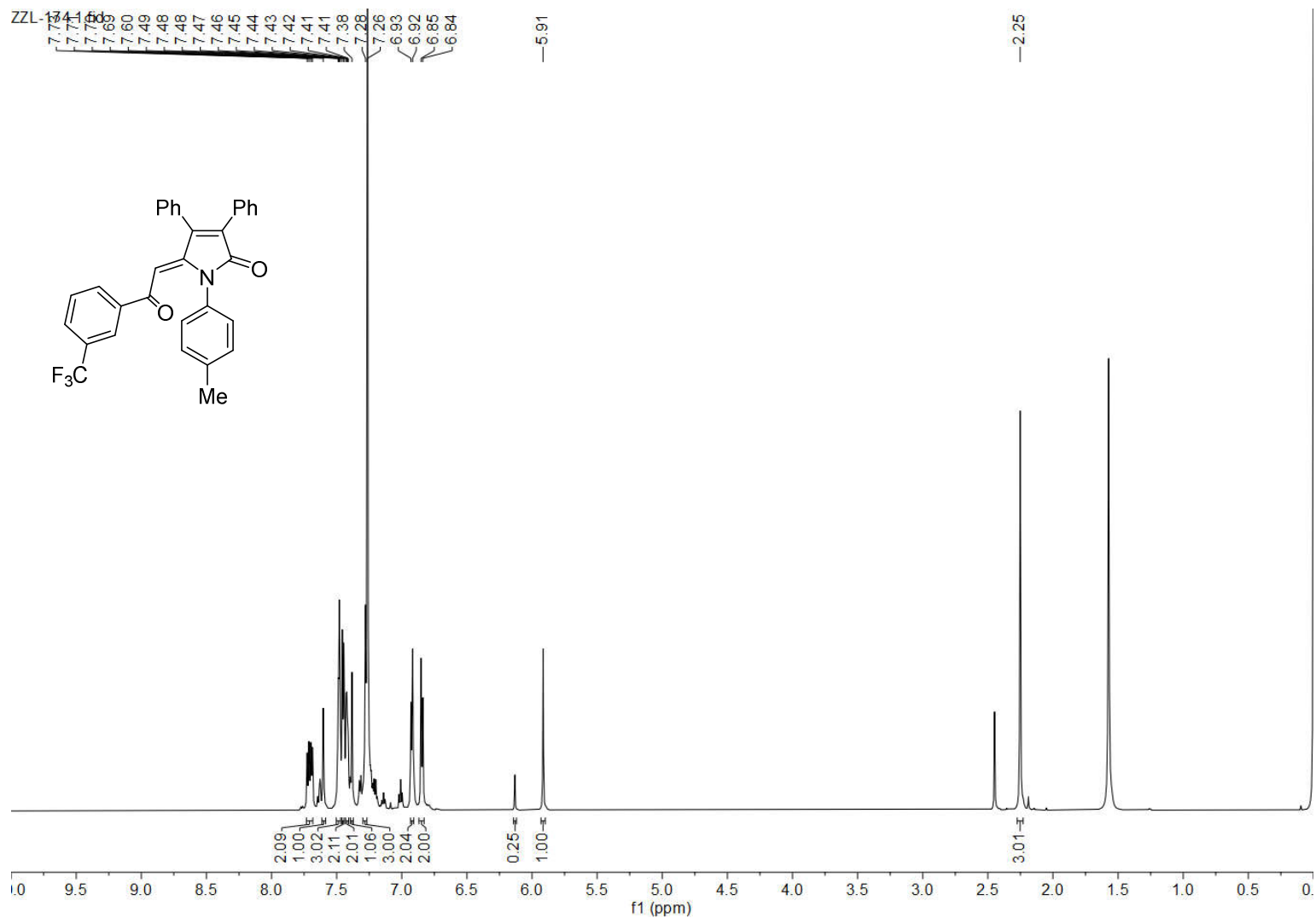


Figure S133. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 7d

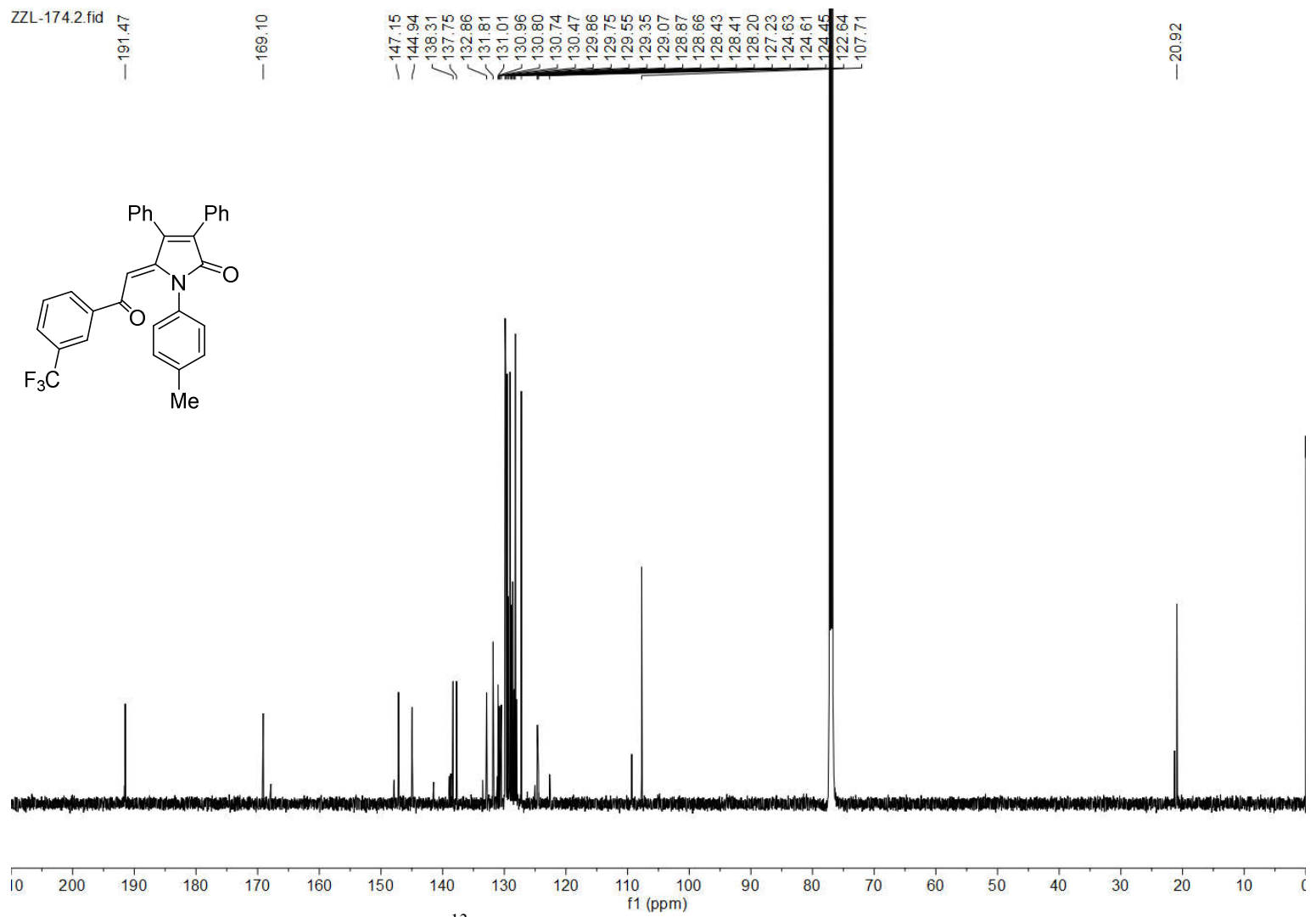
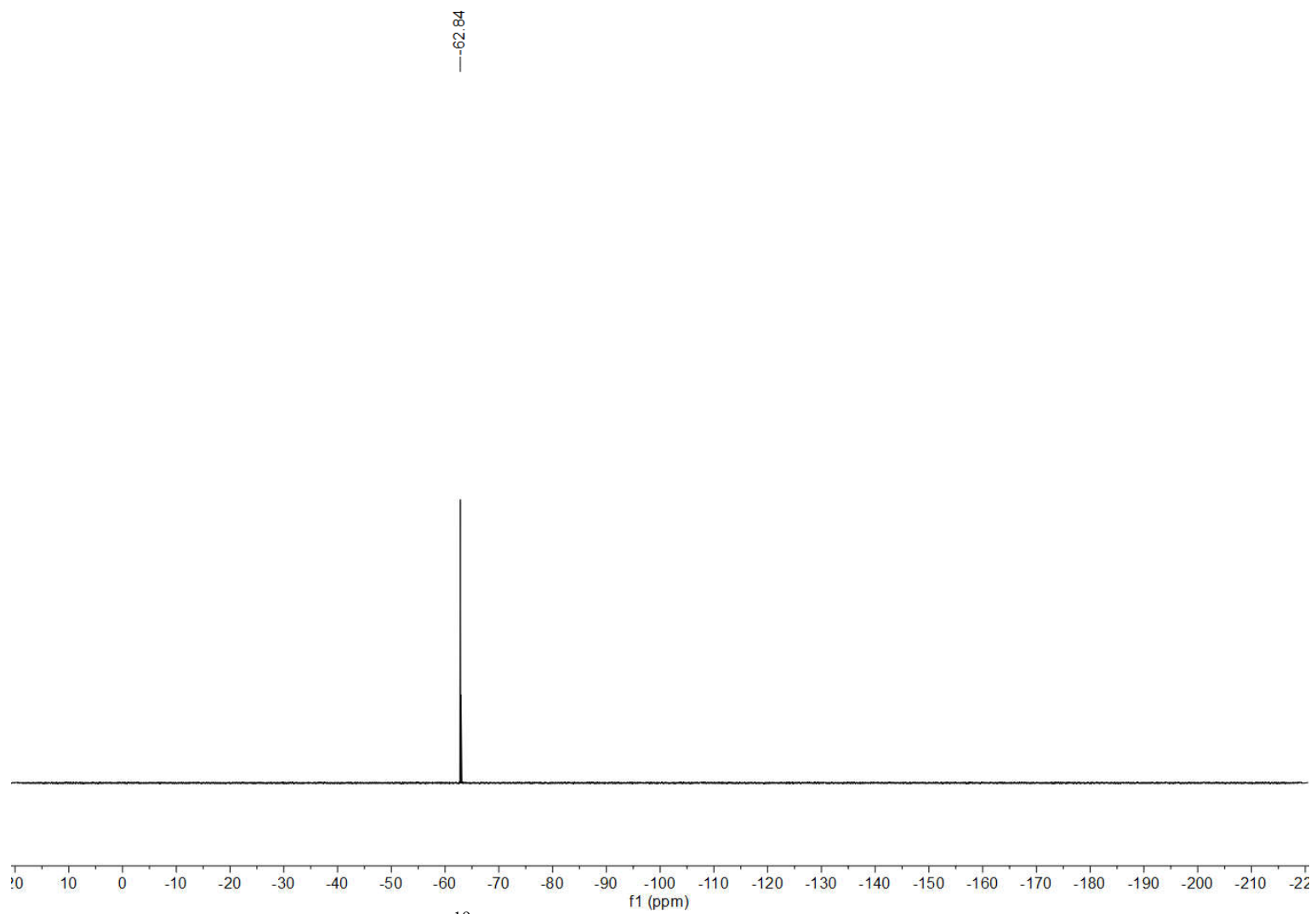


Figure S134. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7d



**Figure S135.**  $^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ ) spectra of compound **7d**

ZZL-184.1.fid

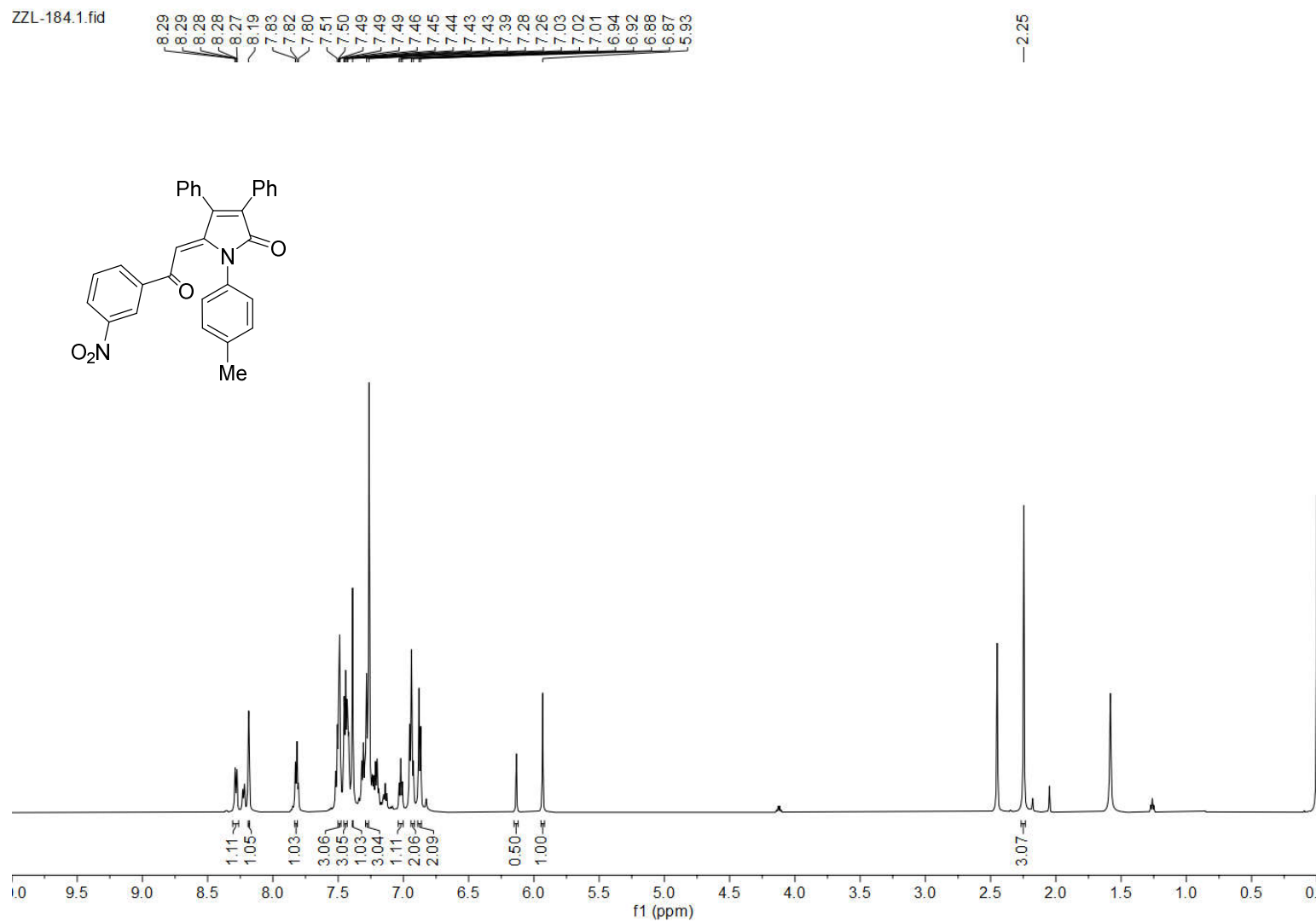


Figure S136. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 7e

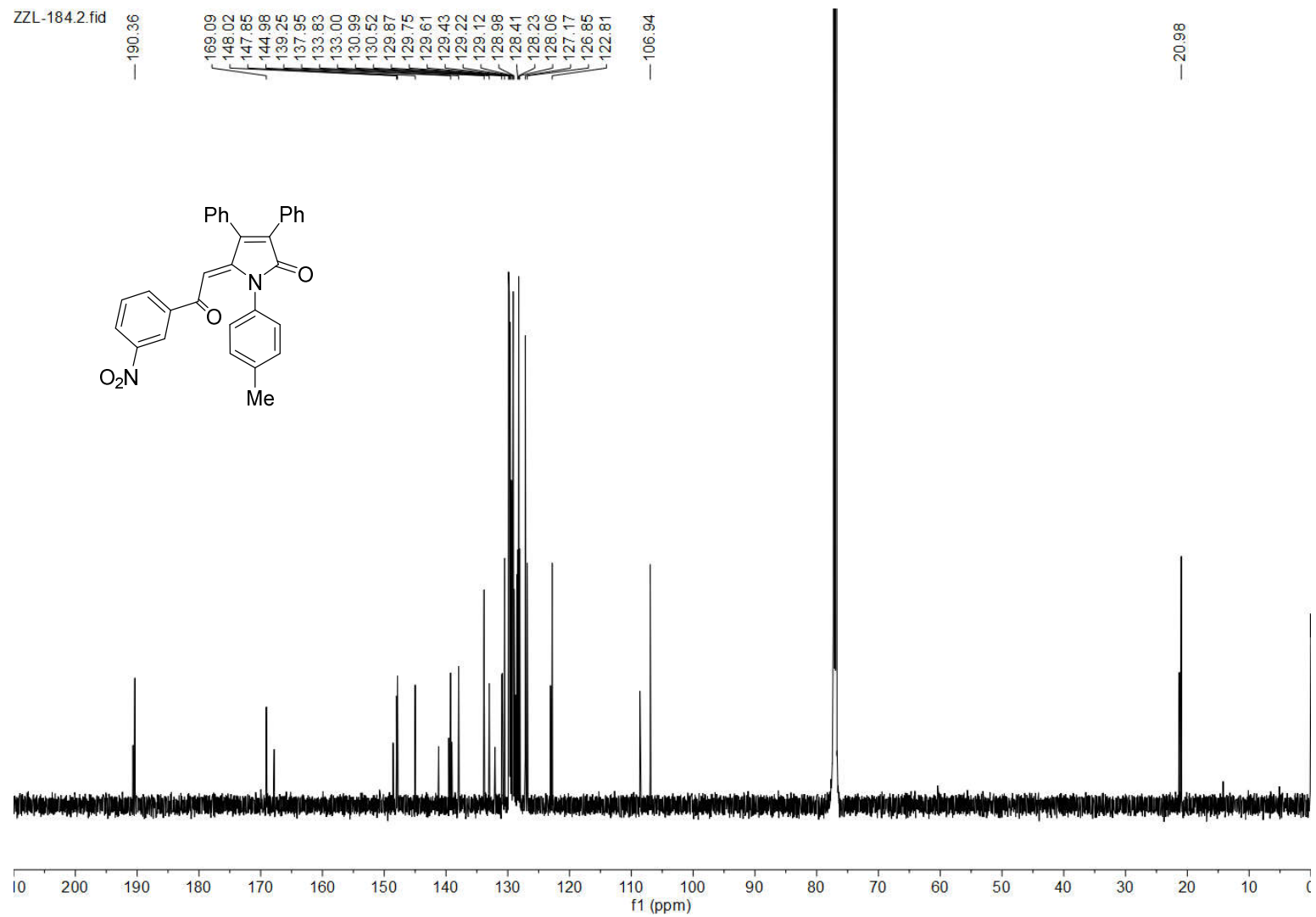
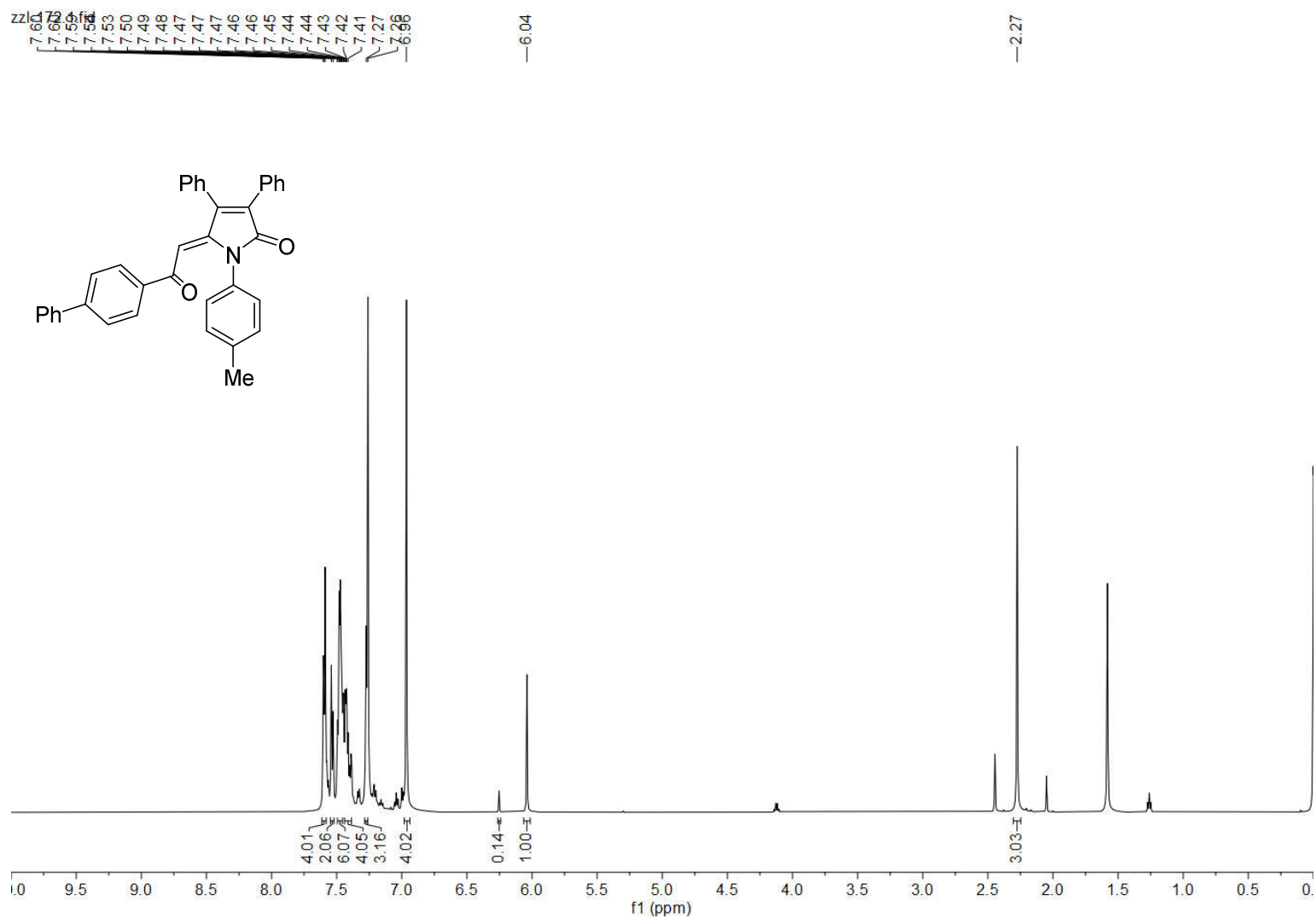


Figure S137.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **7e**



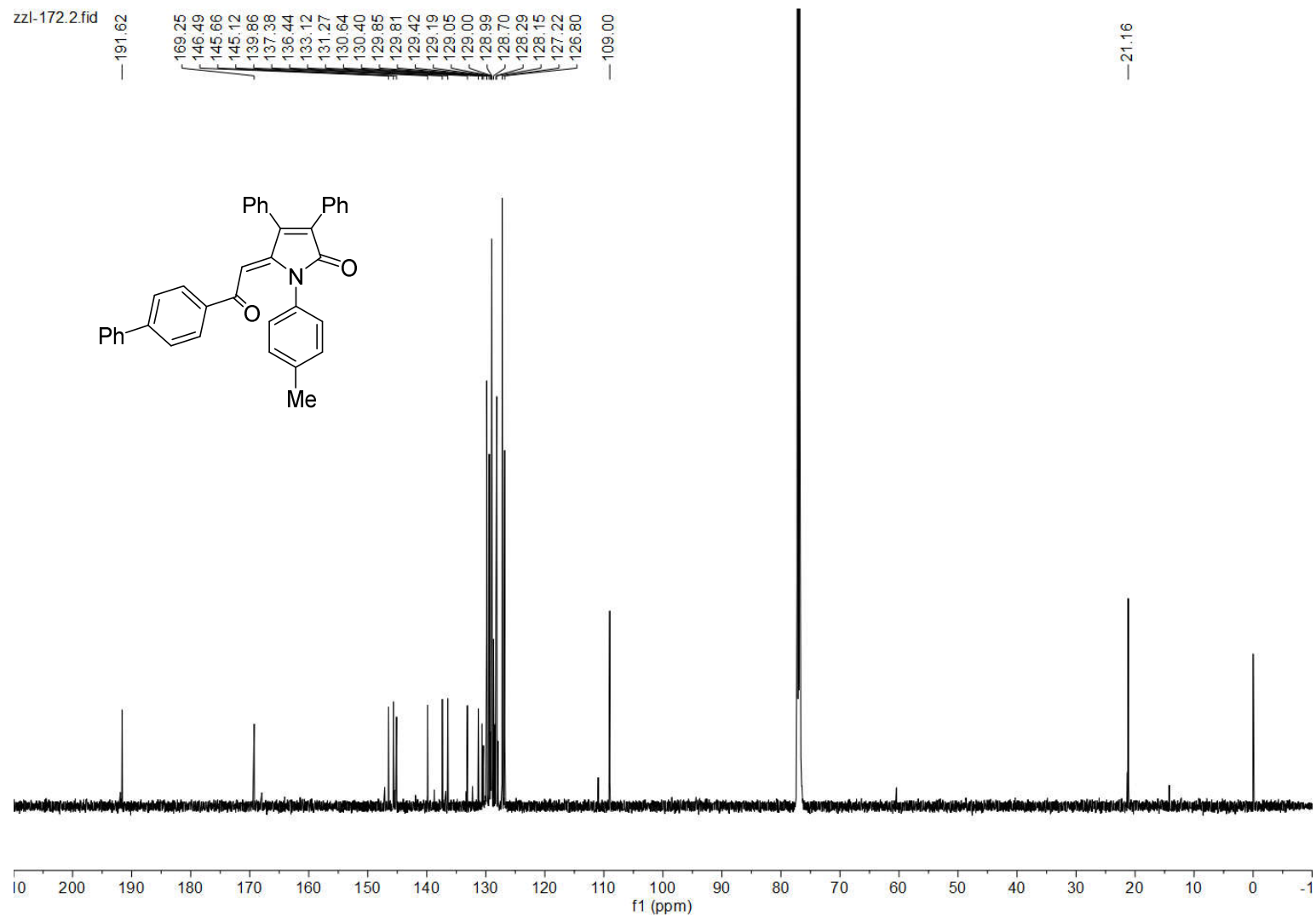
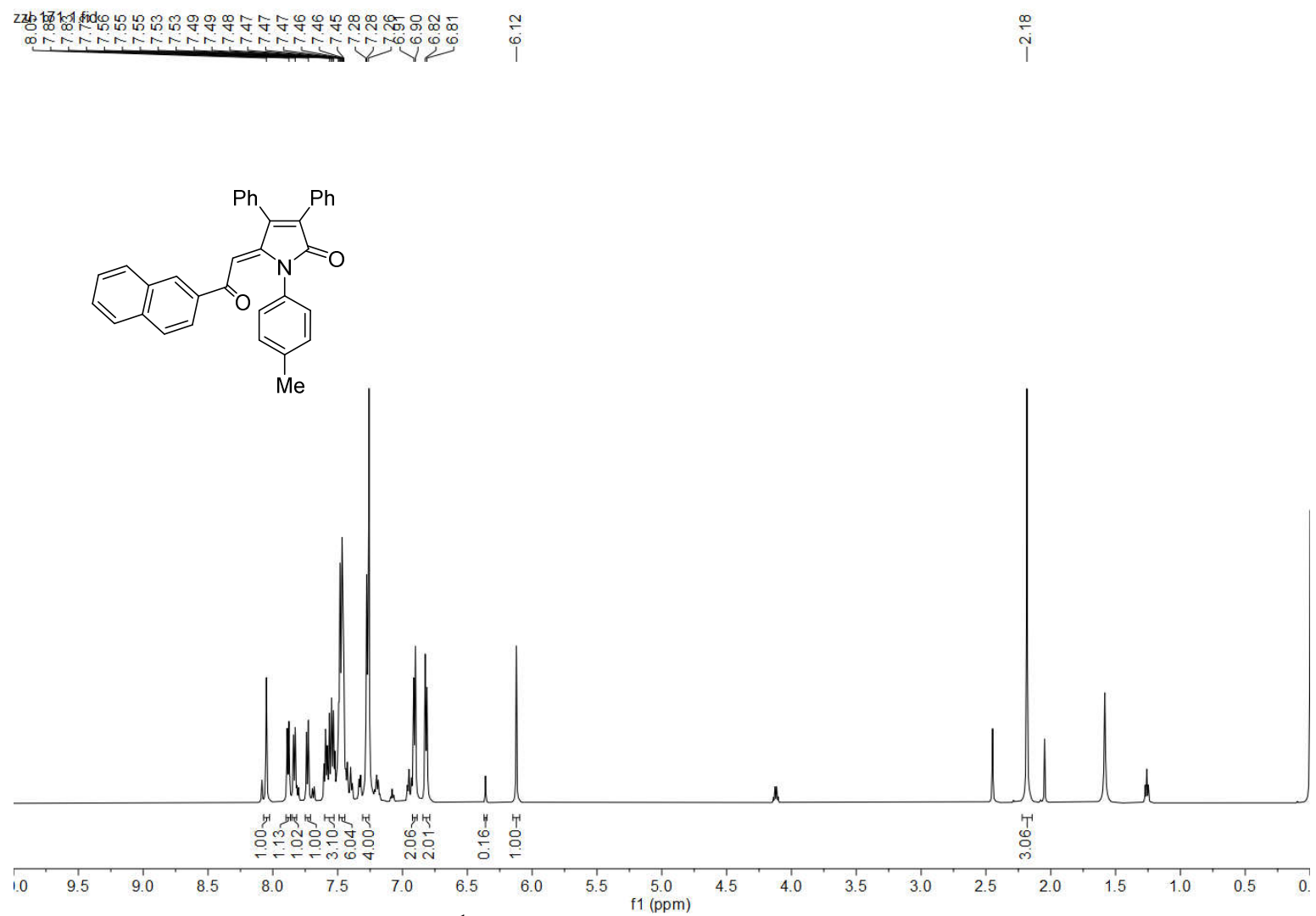
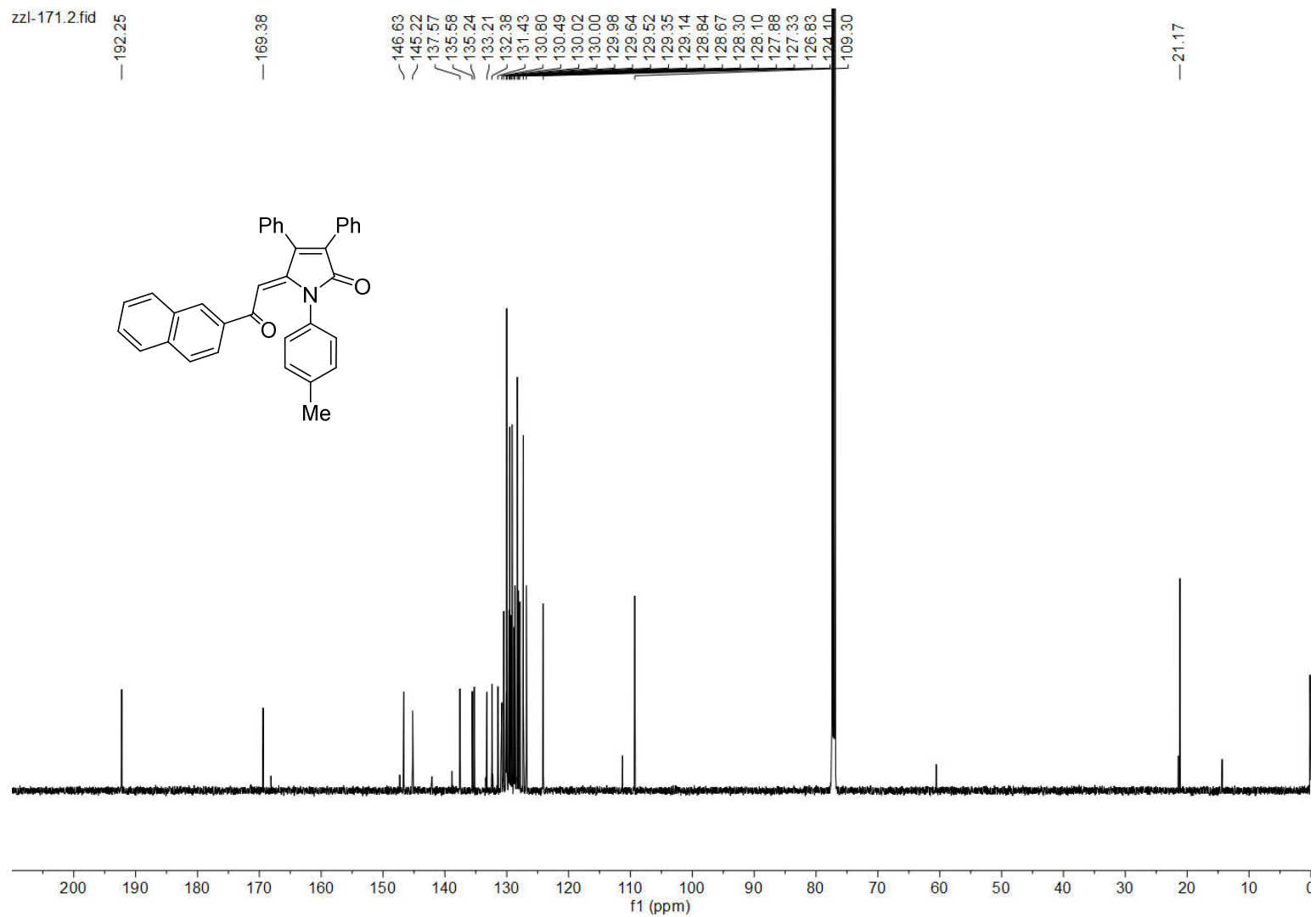


Figure S139.  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound 7f

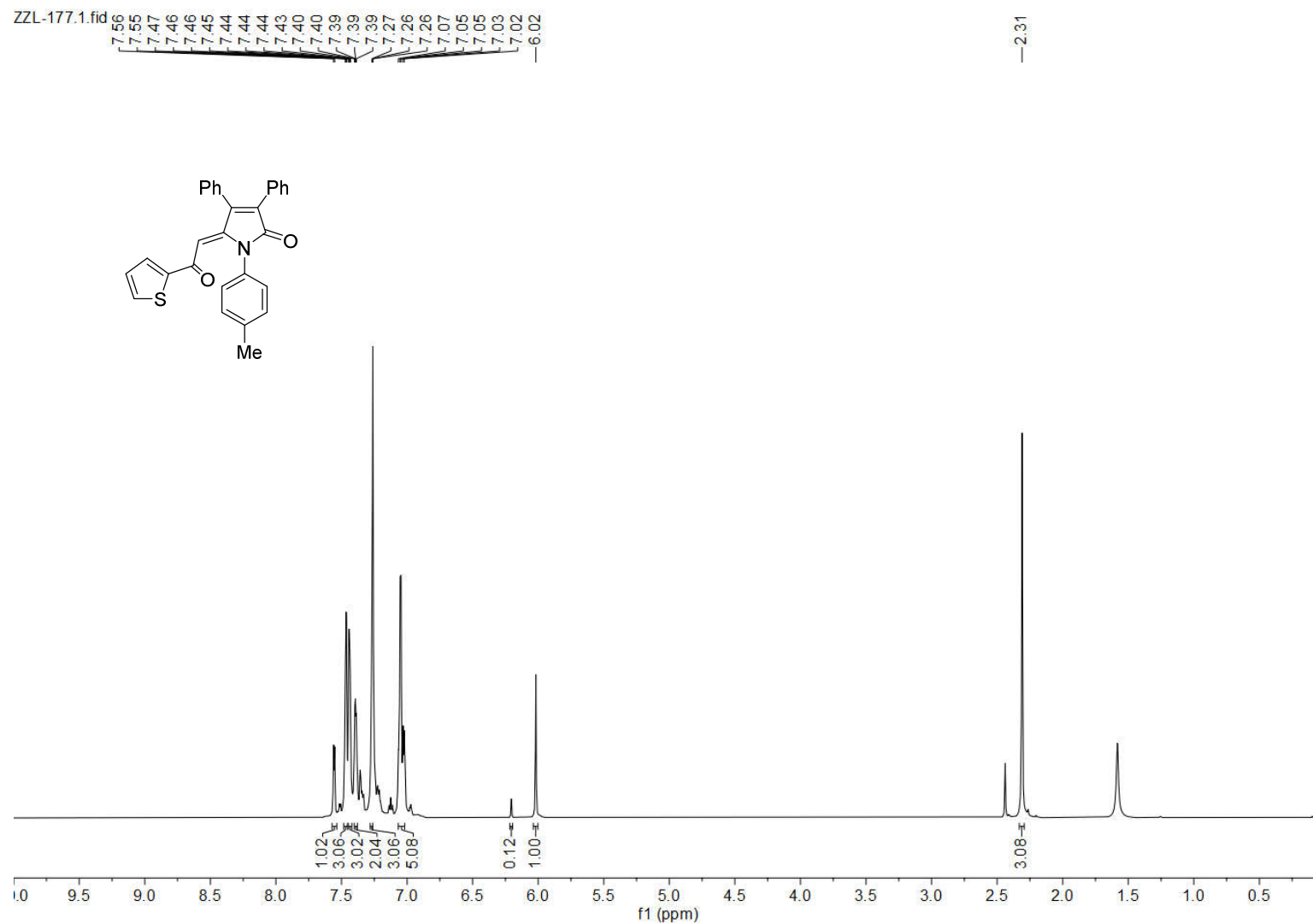




**Figure S140.** <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound 7g



**Figure S141.**  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ) spectra of compound **7g**



**Figure S142.**  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound **7h**

ZZL-177.2.fid

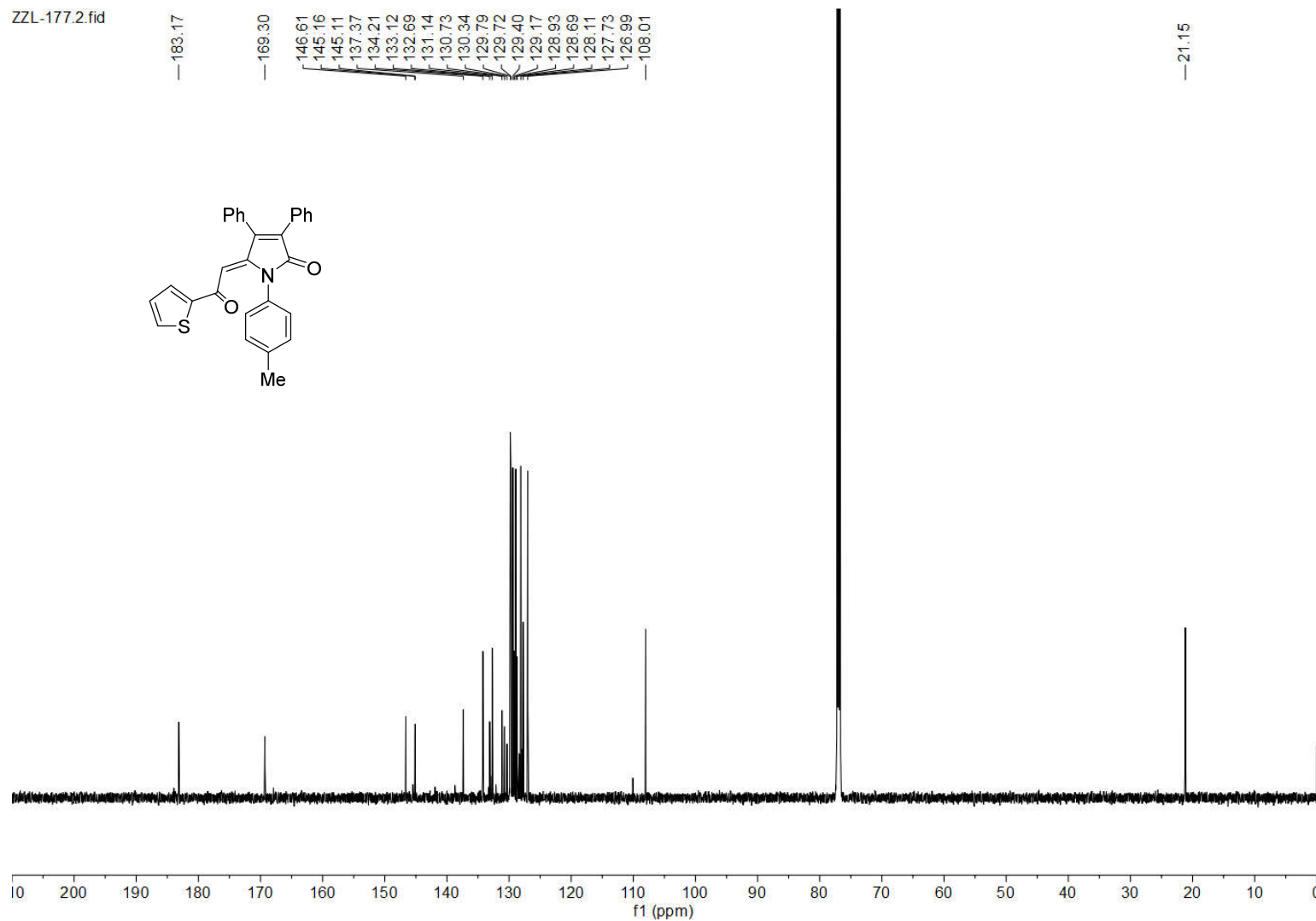


Figure S143. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7h

ZZL-176.1.fid

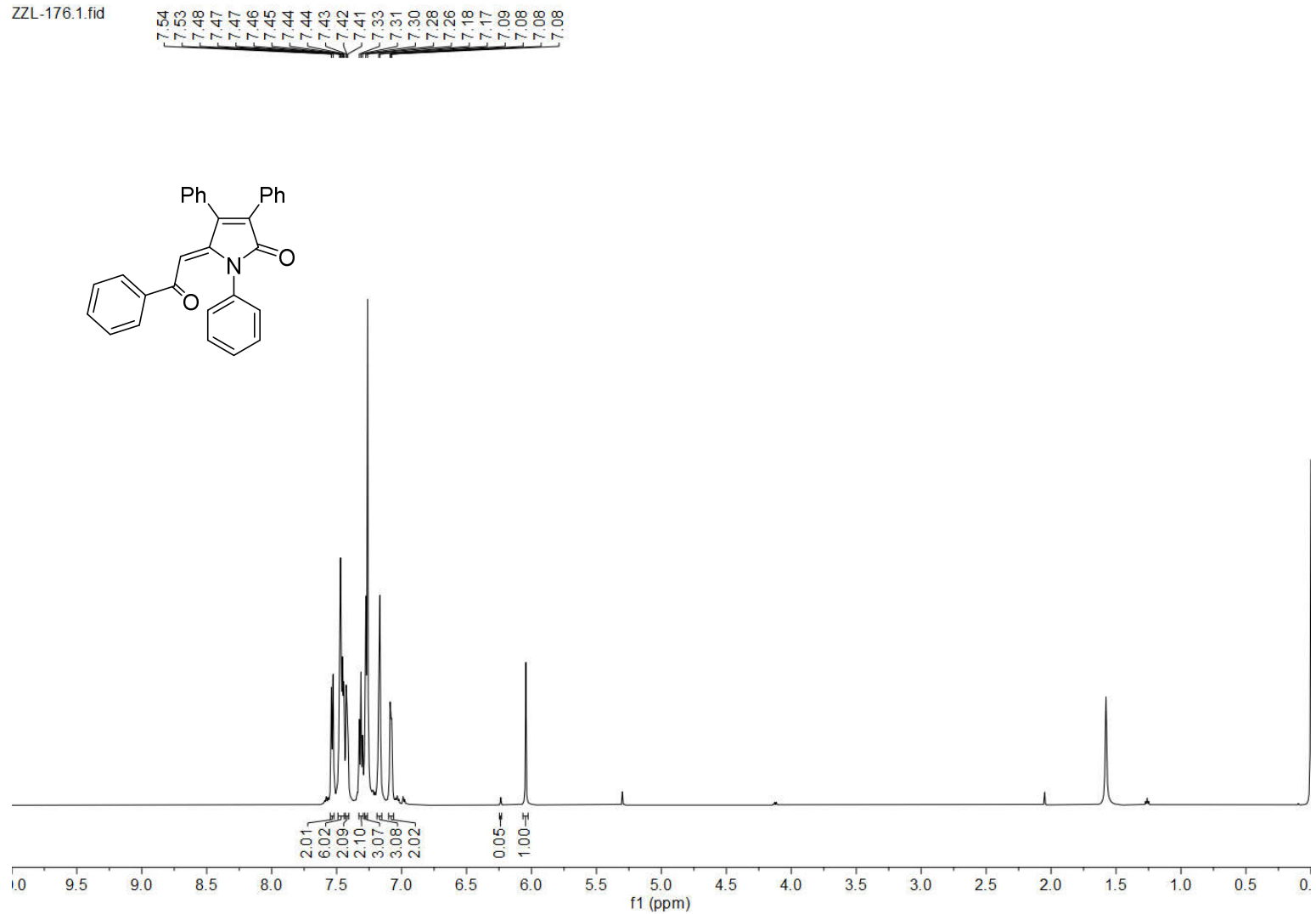


Figure S144. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) spectra of compound **7i**

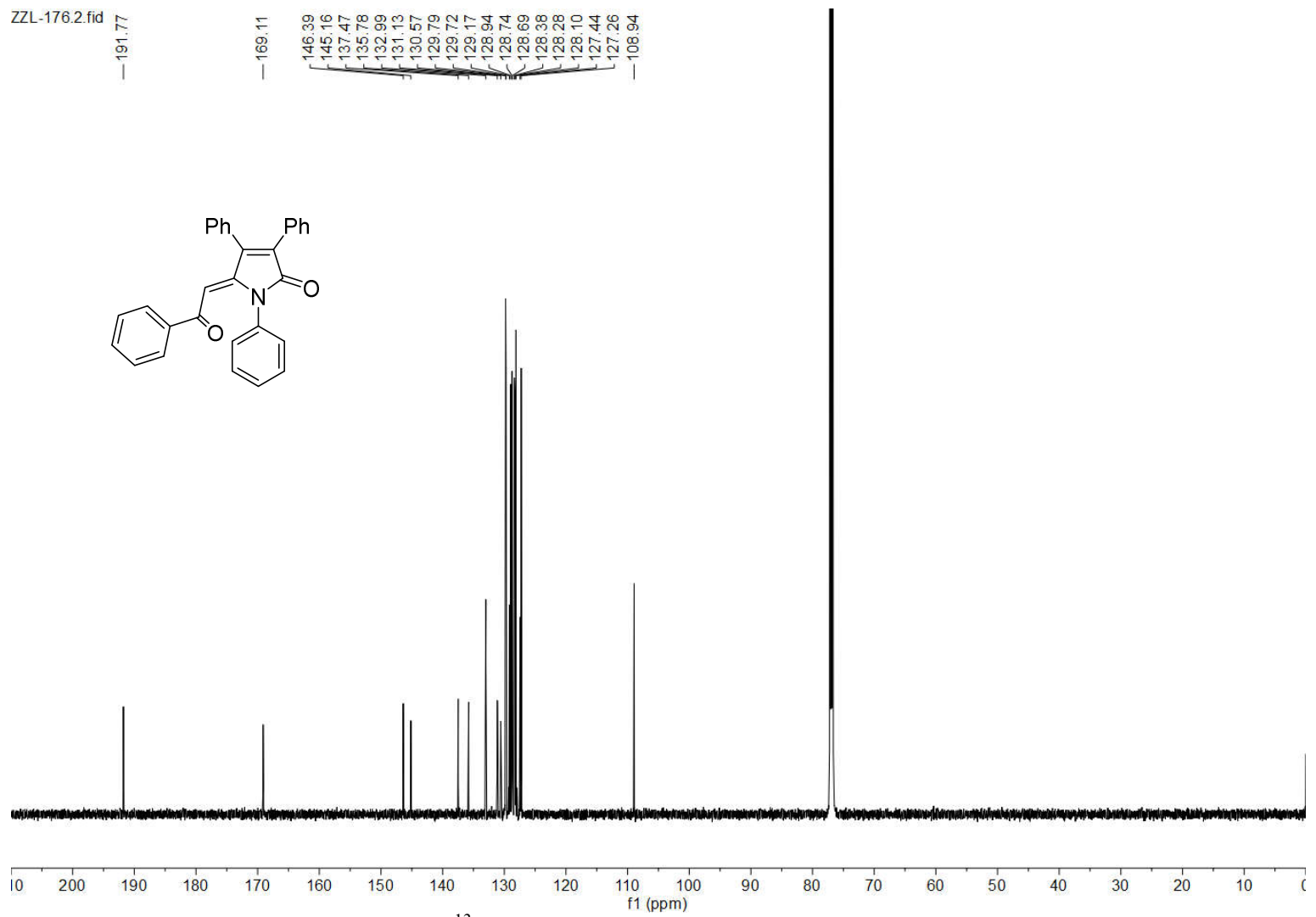


Figure S145. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7i

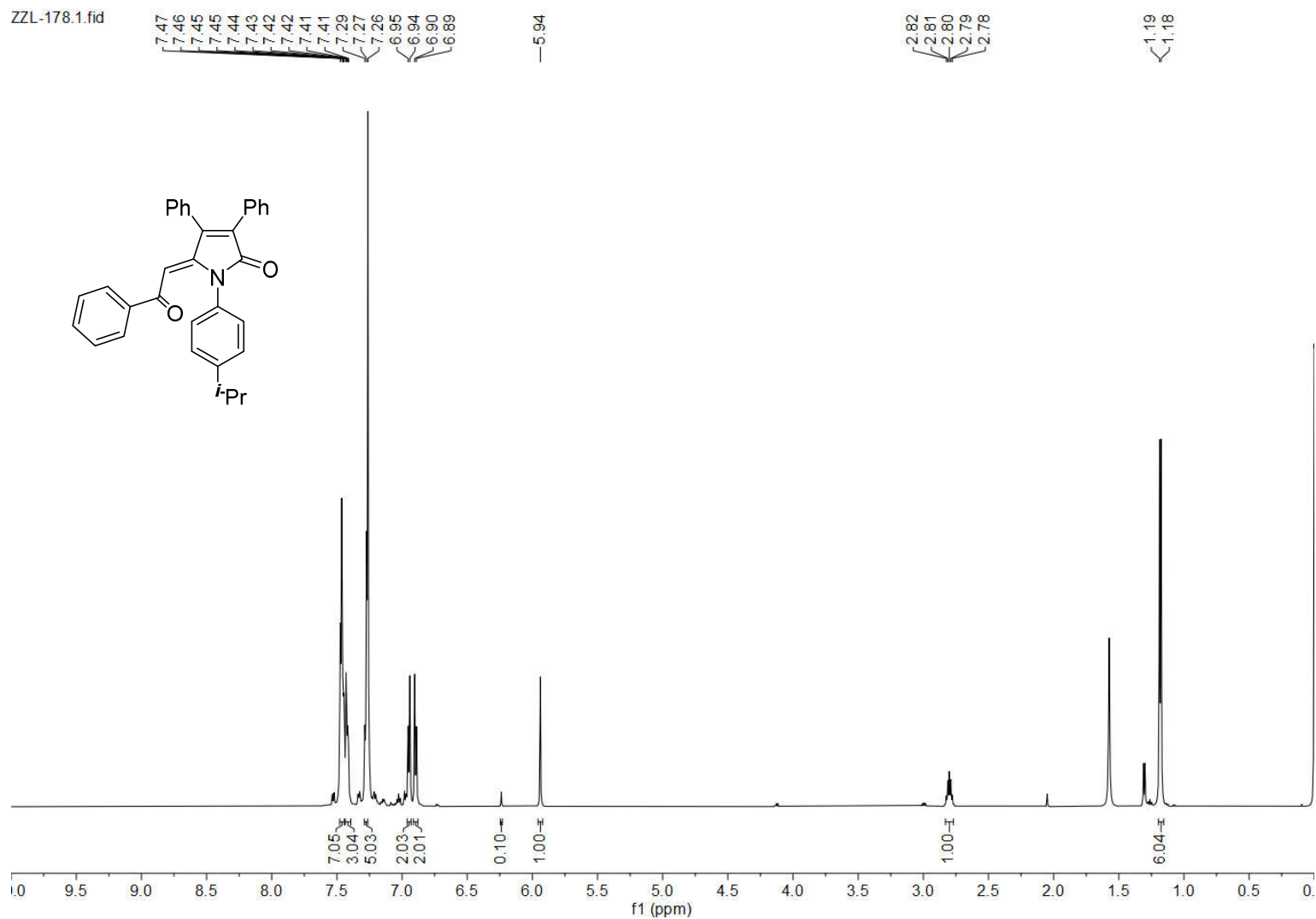


Figure S146.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ ) spectra of compound 7j

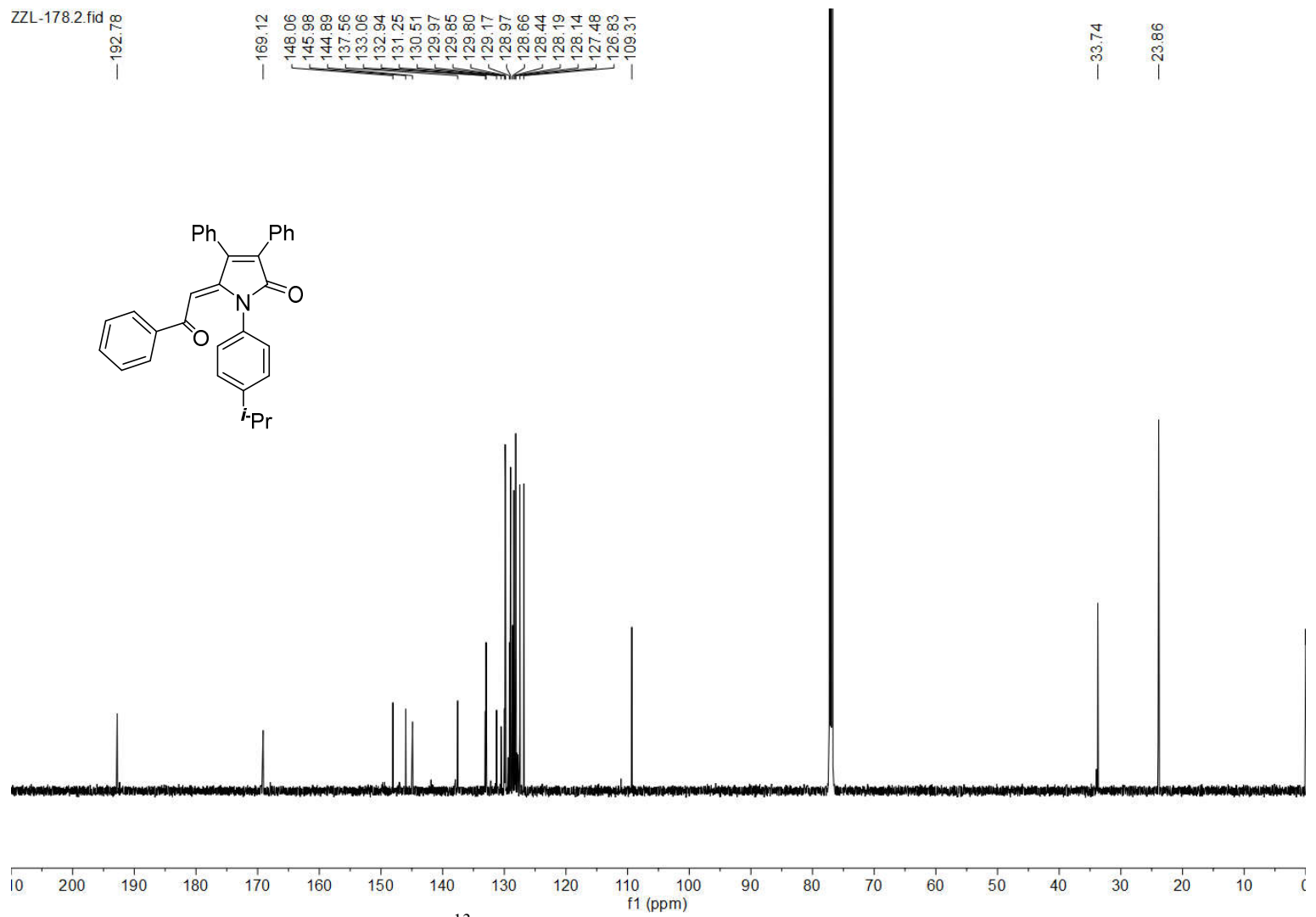
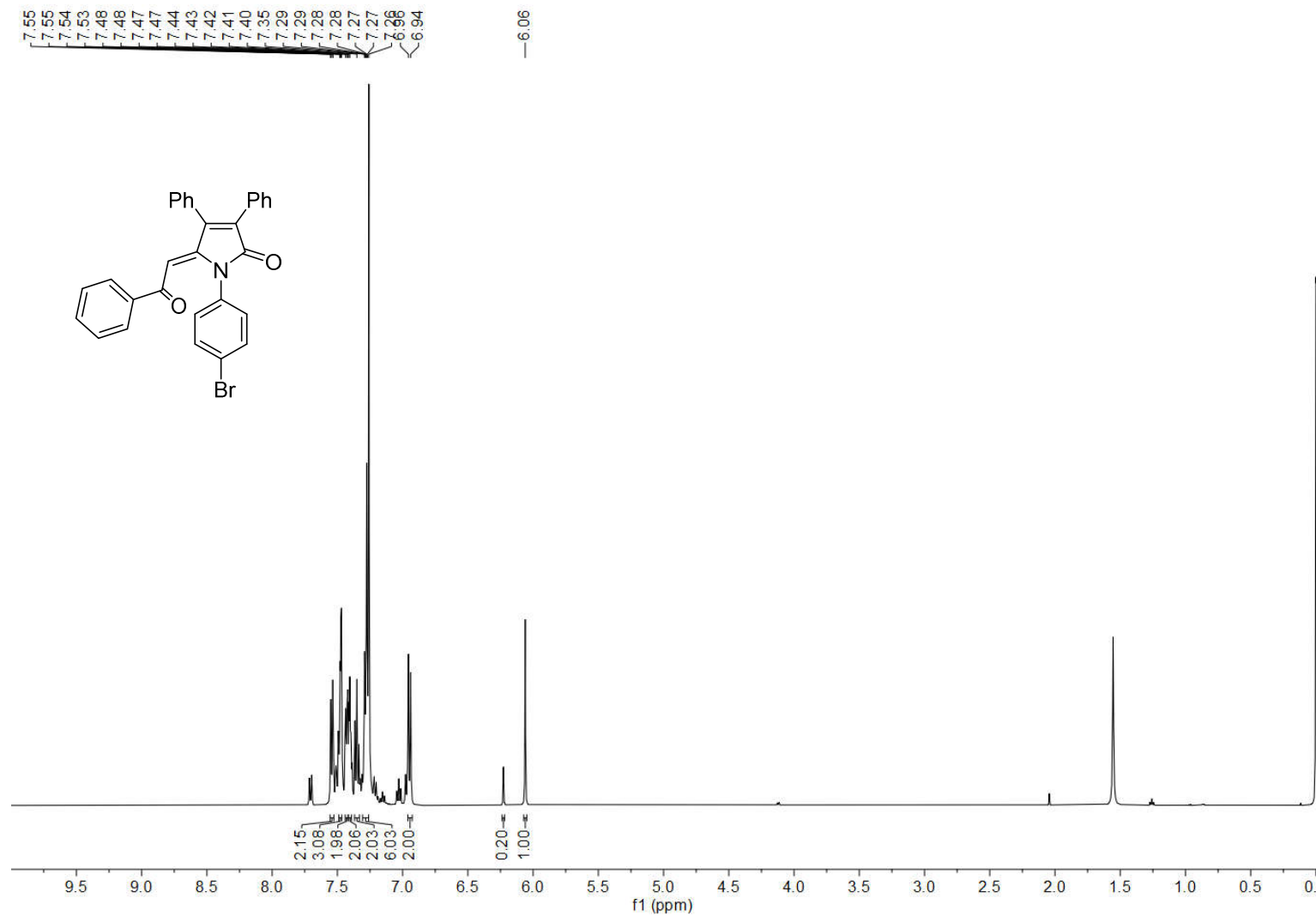
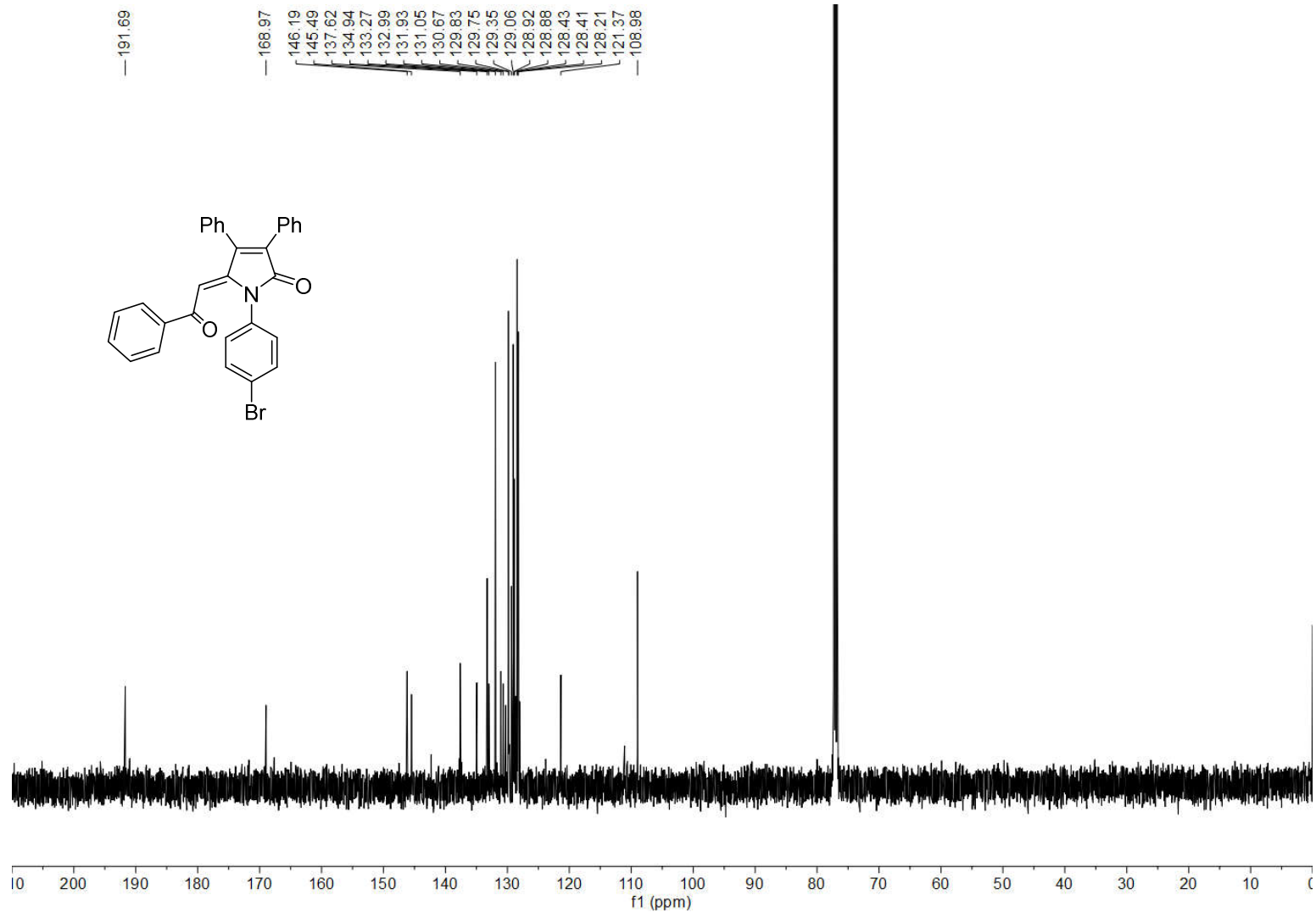


Figure S147. <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) spectra of compound 7j







**Figure S149.** <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectra of compound **7k**

ZZL-192-1.1.fig

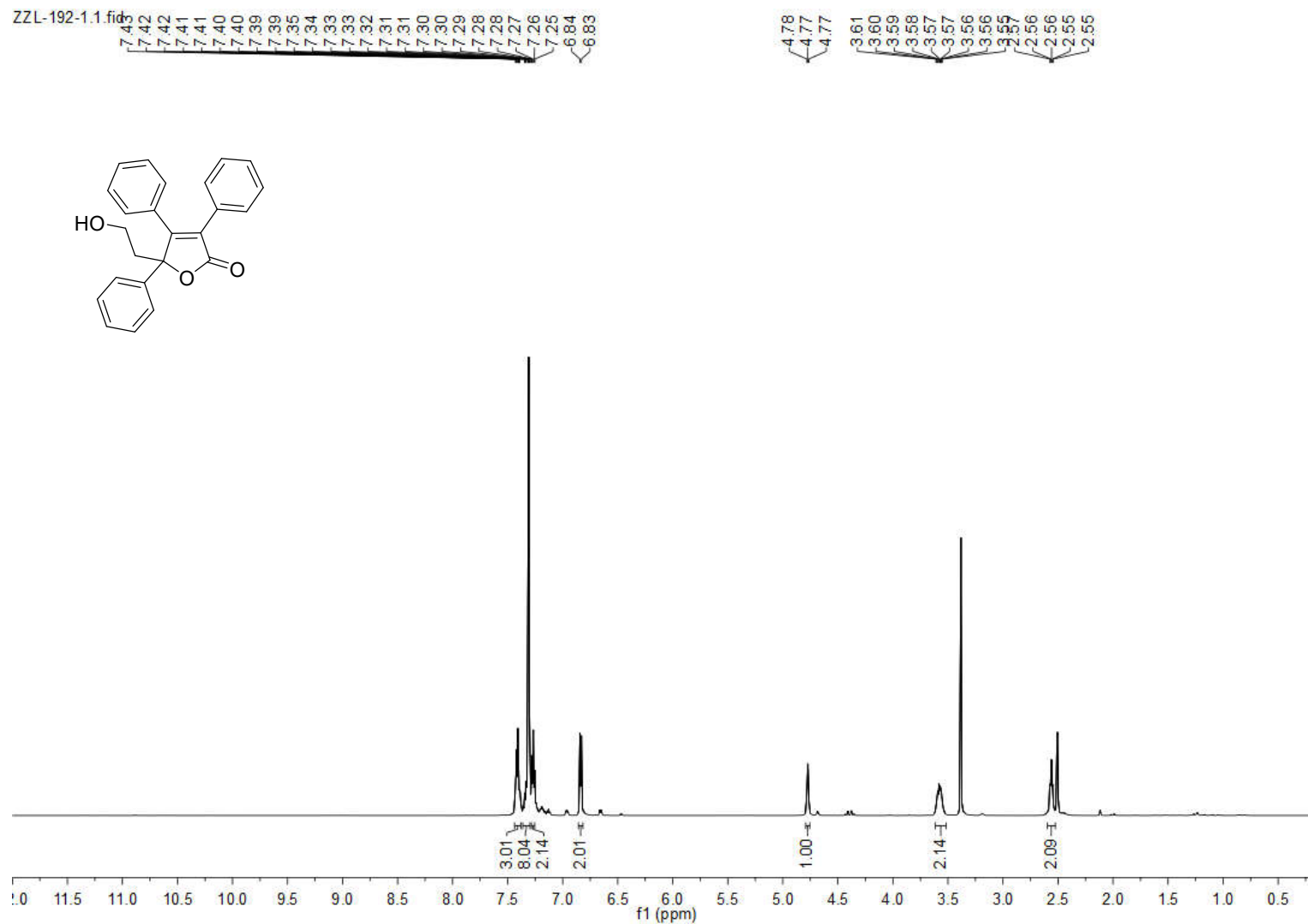


Figure S150. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 8

ZZL-192-1.2.fid

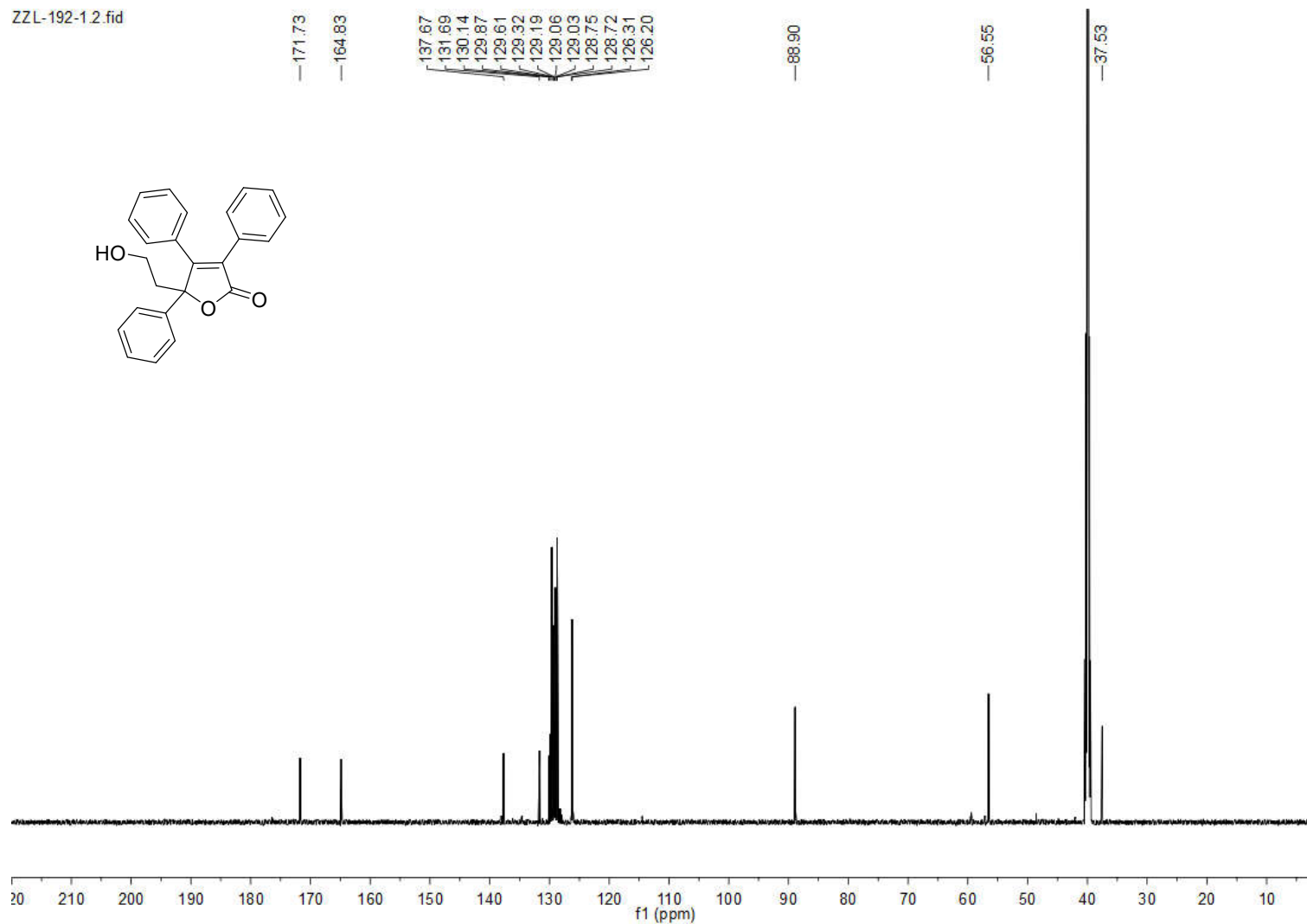


Figure S151.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 8

ZZL-200.1.fid

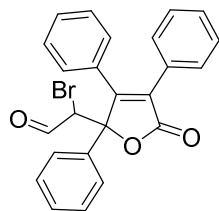
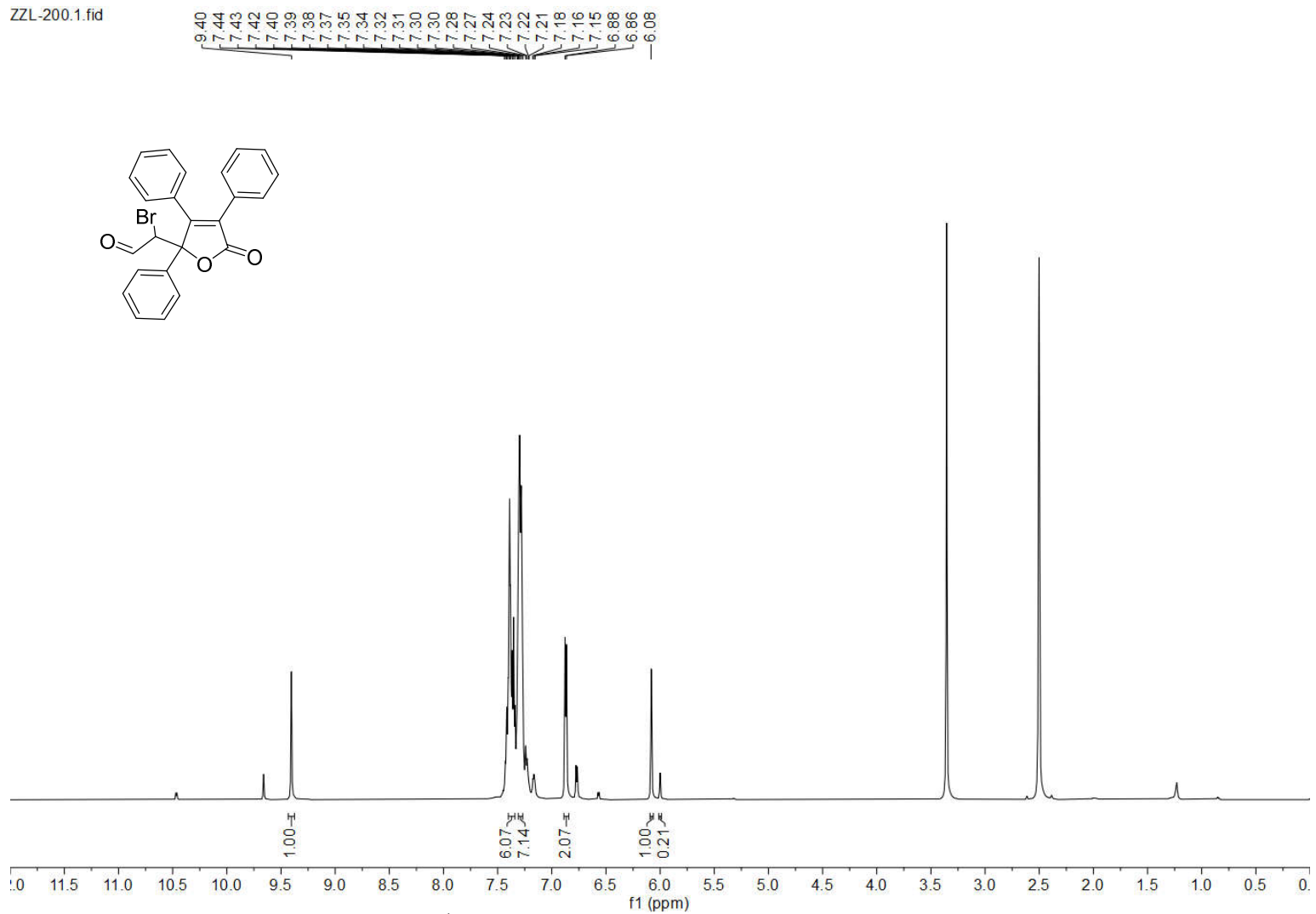


Figure S152.  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **9**

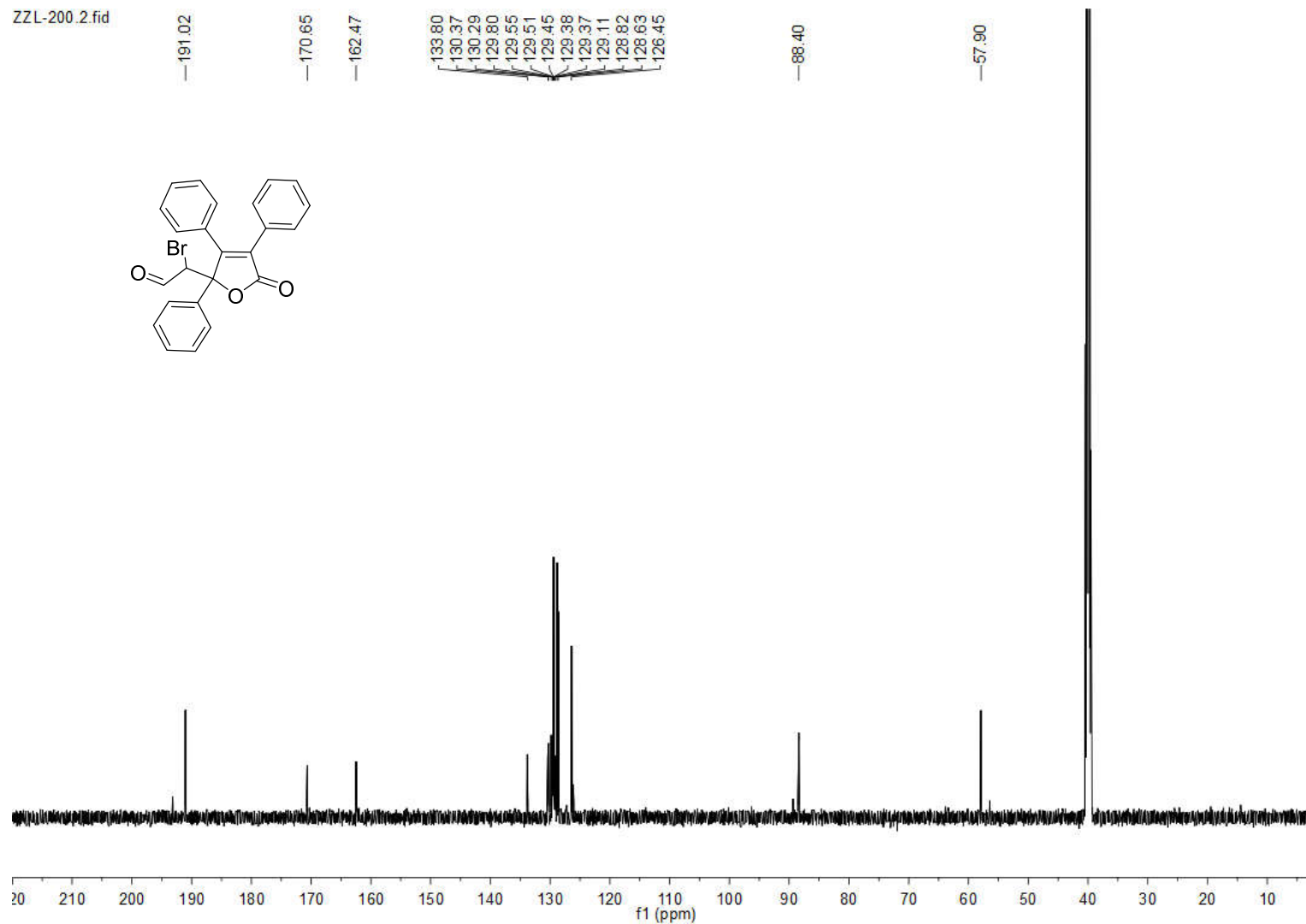
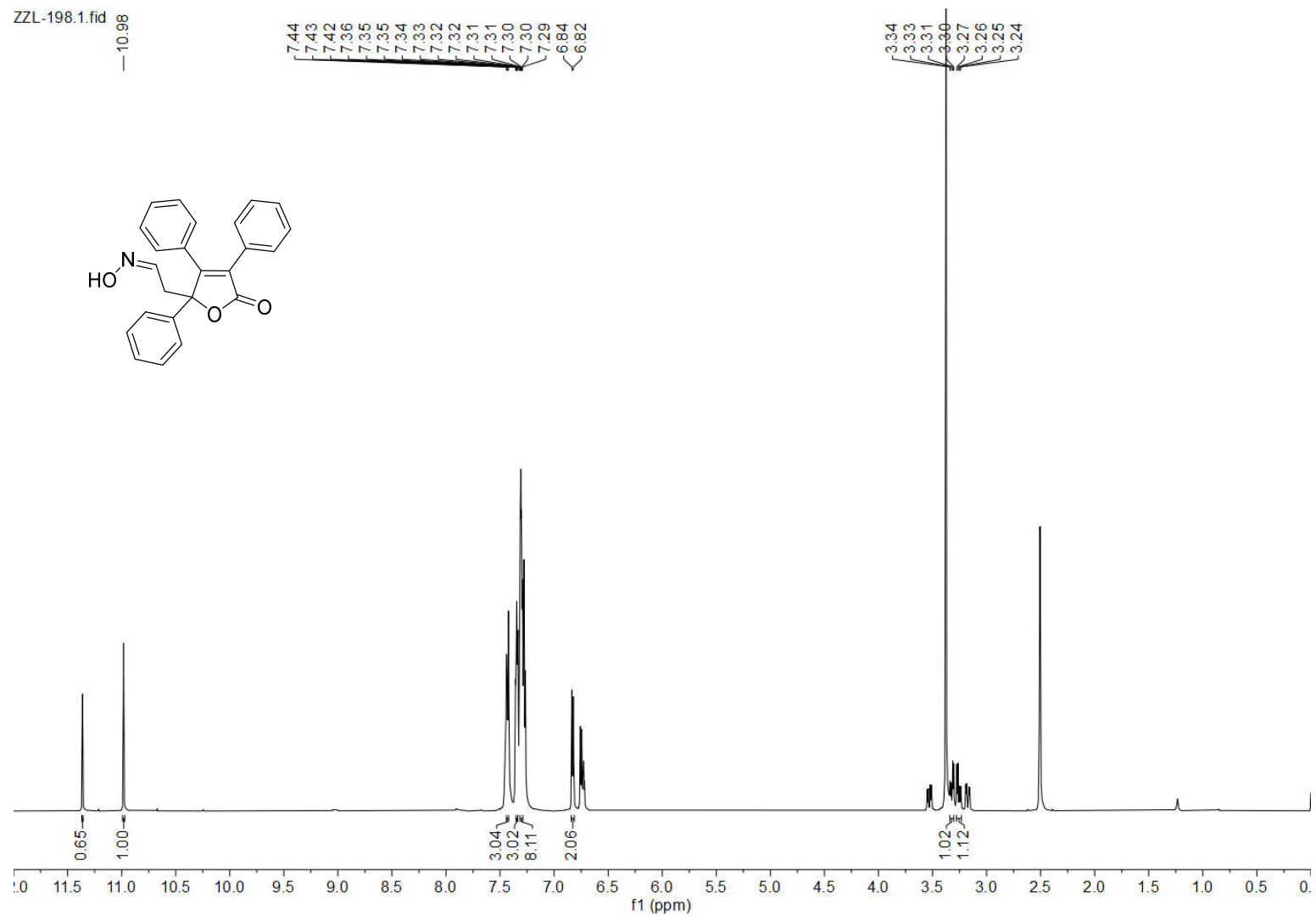


Figure S153.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 9



**Figure S154.**  $^1\text{H}$  NMR (600 MHz,  $\text{DMSO-}d_6$ ) spectra of compound **10**

ZZL-198.2.fid

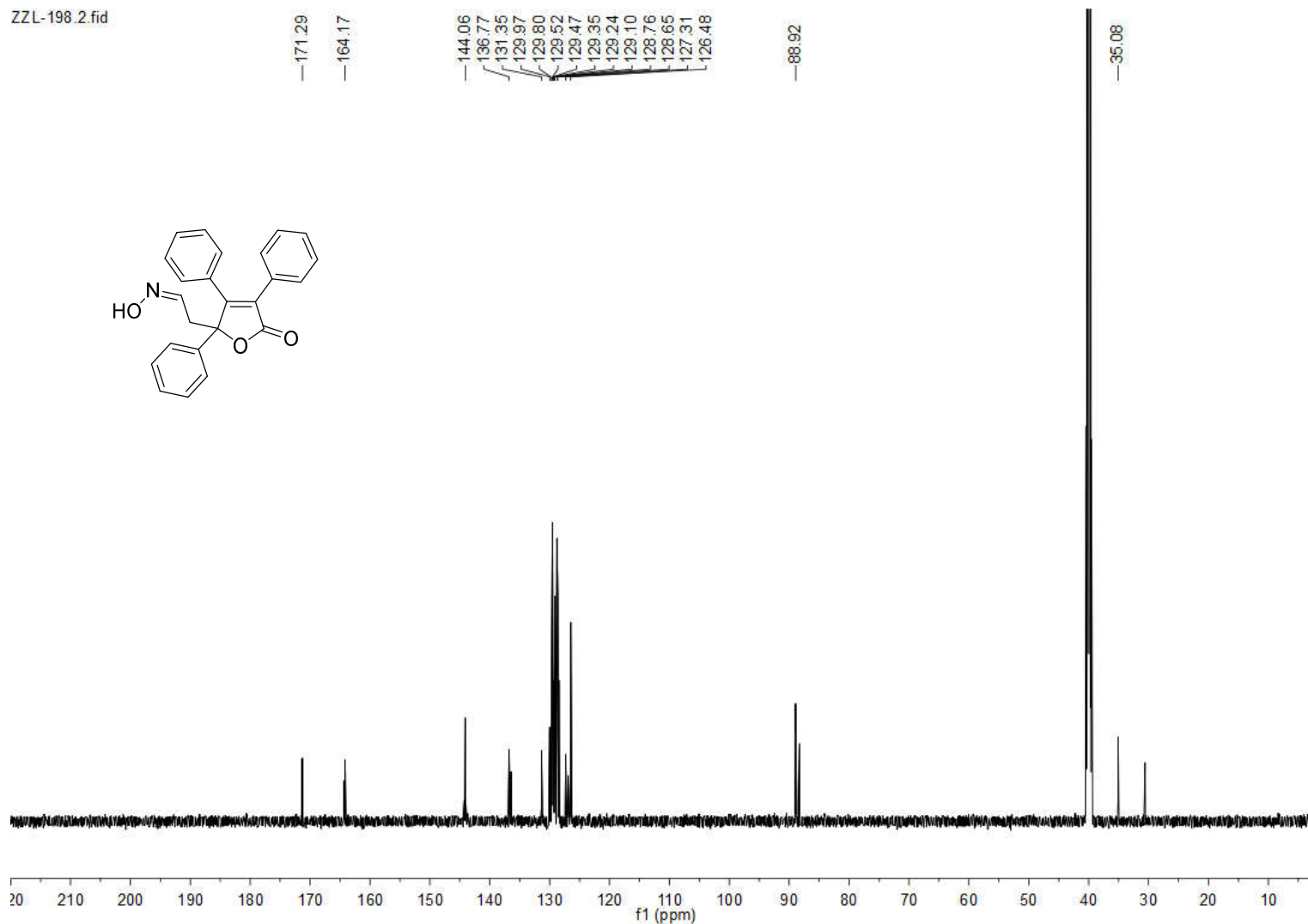


Figure S155.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 10



ZZL-201.1.fid

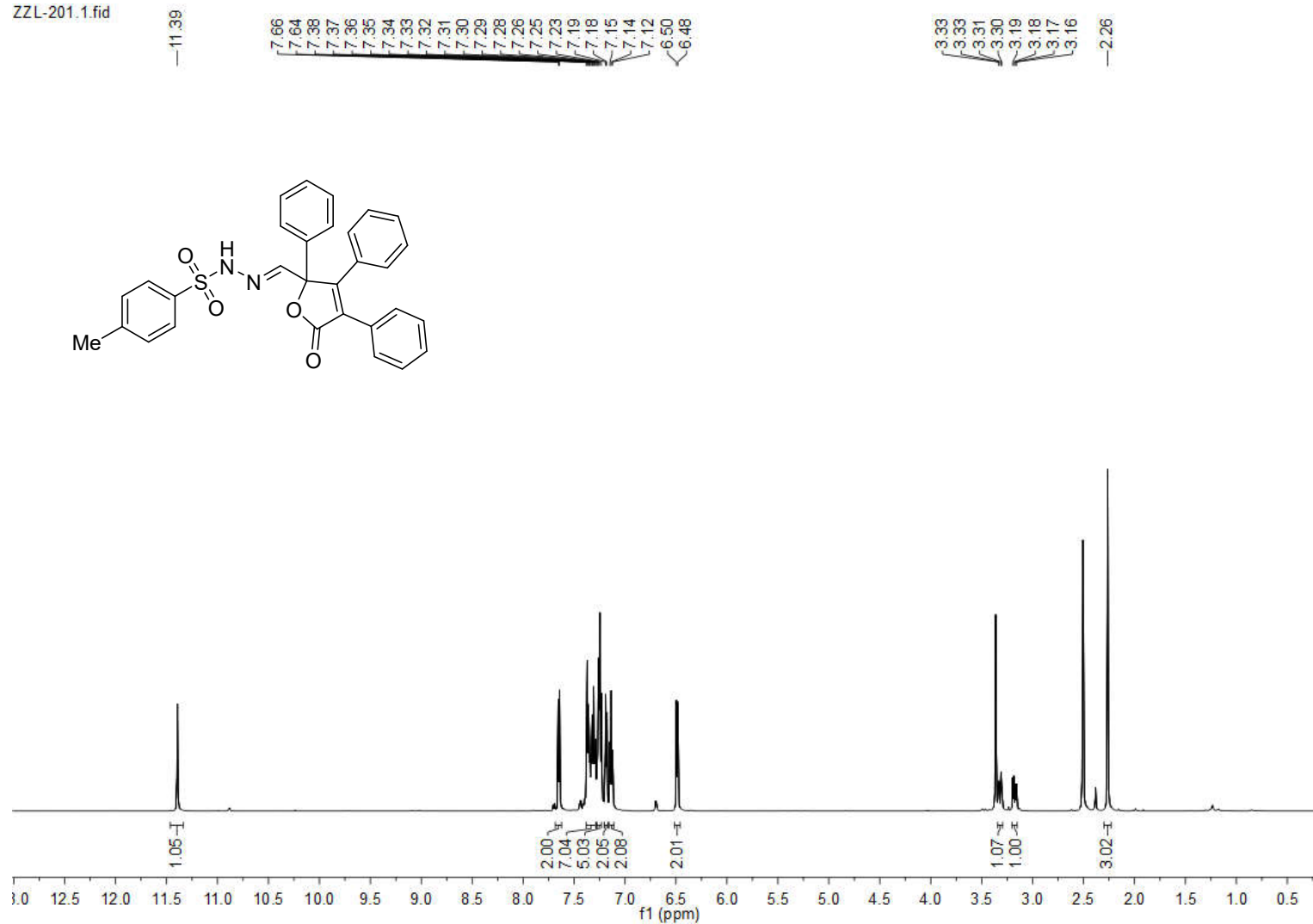


Figure S156. <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 11

ZZL-201.2.fid

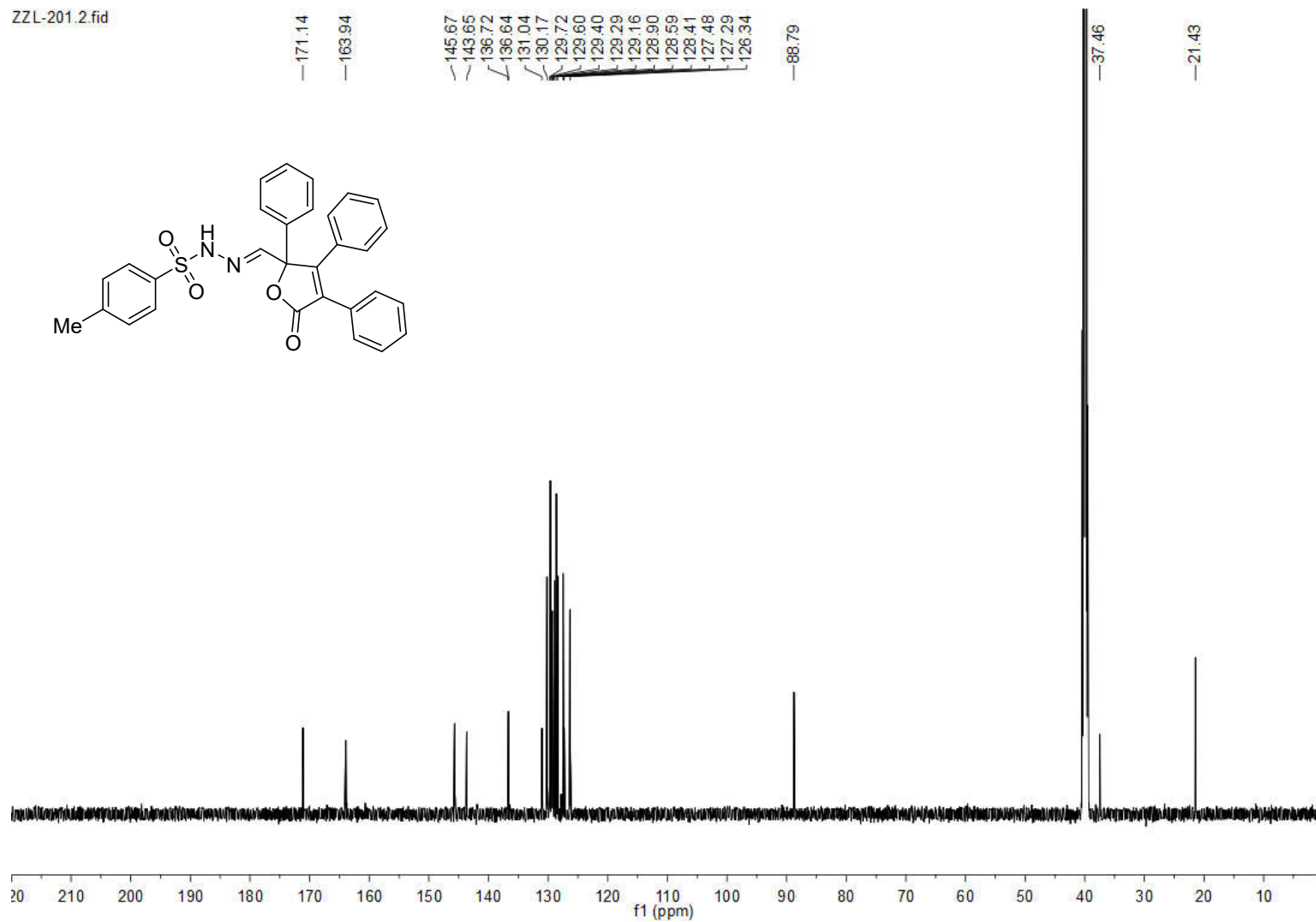
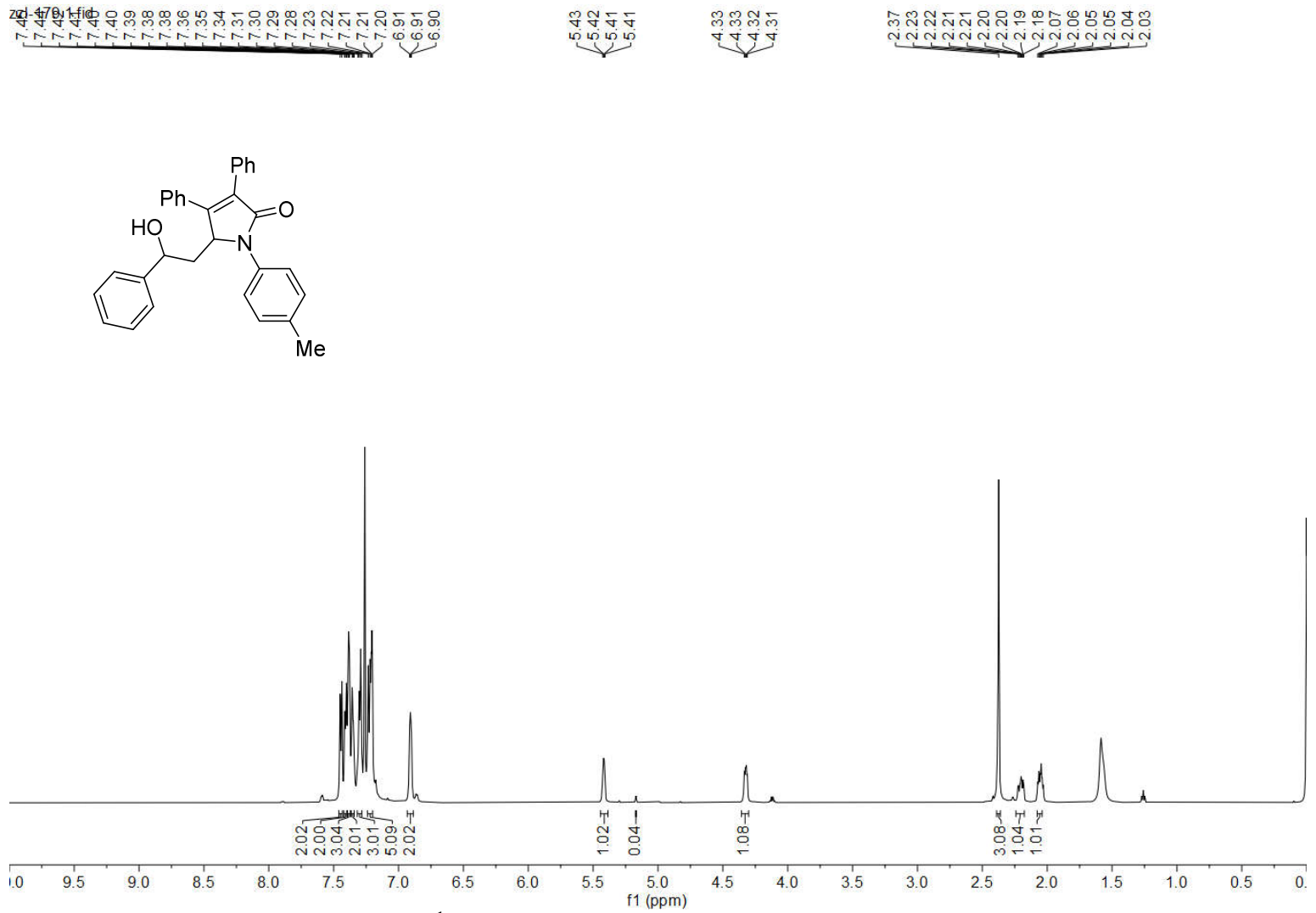


Figure S157.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 11



**Figure S158.** <sup>1</sup>H NMR (600 MHz, DMSO-*d*<sub>6</sub>) spectra of compound 12

zzl-179.2.fid

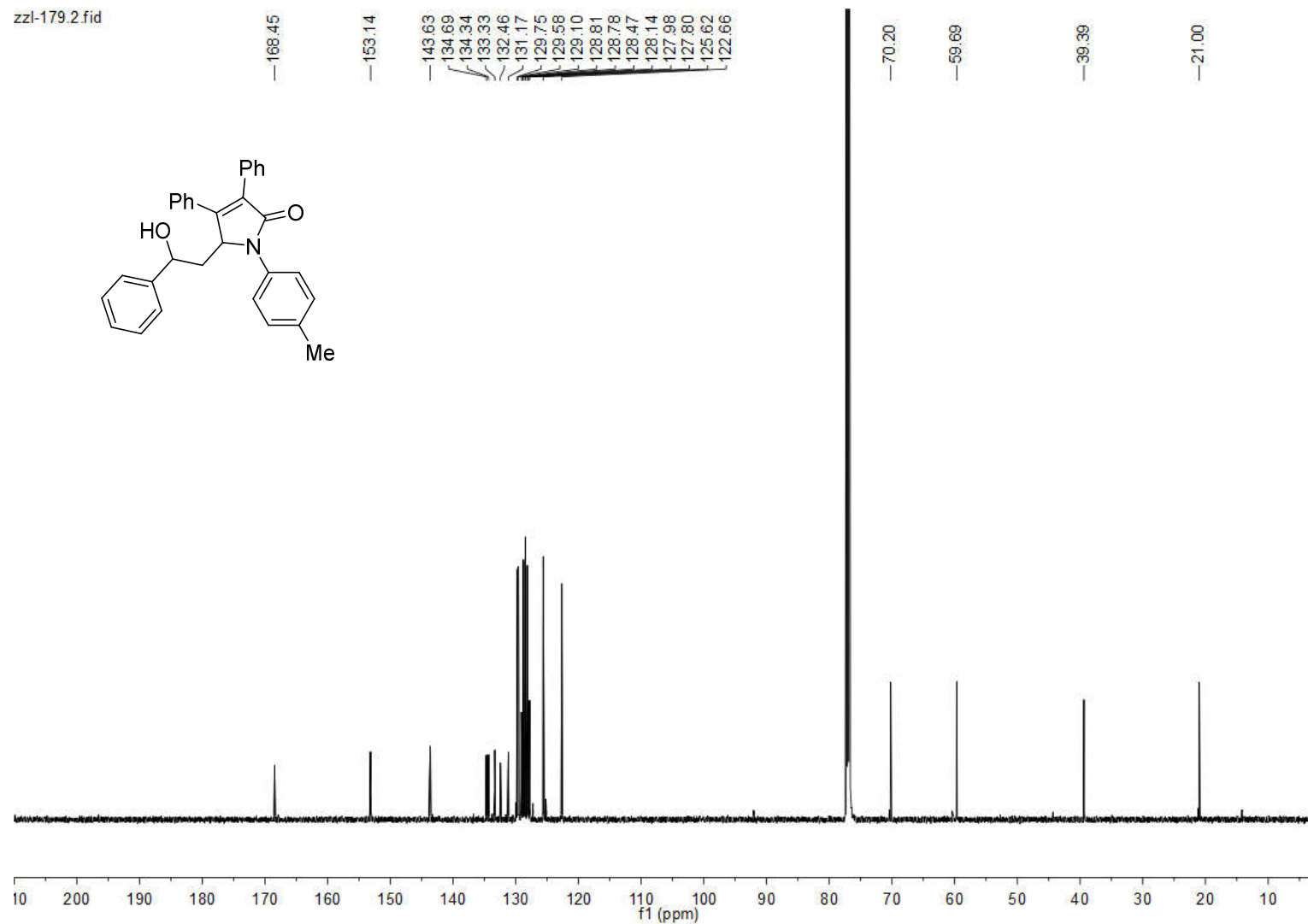


Figure S159.  $^{13}\text{C}$  NMR (150 MHz,  $\text{DMSO-}d_6$ ) spectra of compound 12

## 7. References and notes.

1. (a) Liu, Y.; Zhou, R.; Wan, J.-P. *Synth. Commun.*, **2013**, *43*, 2475. (b) Zhou, Z.-Z.; Liu, F.-S.; Shen, D.-S.; Tan, C.; Luo, L.-Y. *Inorg. Chem. Commun.*, **2011**, *14*, 659. (c) Larina, N. A.; Lokshin, V.; Berthet, J.; Delbaere, S.; Vermeersch, G.; Khodorkovsky, V. *Tetrahedron*, **2010**, *66*, 8291. (d) Zhou, P.; Hu, B.; Rao, K.; Li, L.; Yang, J.; Gao, C.; Wang, F.; Yu, F. *Synlett*, **2018**, *29*, 519.
2. (a) Miao, W.-H.; Gao, W.-X.; Huang, X.-B.; Liu, M.-C.; Zhou, Y.-B.; Wu, H.-Y. *Org. Lett.*, **2021**, *23*, 9425. (b) Wang, H.; Yan, R. *Adv. Synth. Catal.*, **2022**, *364*, 715.
3. (a) Yuan, W.; Li, X.; Qi, Z.; Li, X. *Org. Lett.* **2021**, *23*, 9425–9430. (b) Liu, L.; Wu, H.; Huang, G. *Chin. Chem. Lett.* **2021**, *32*, 3015–3018. (c) Li, X.; Han, C.; Yao, H.; Lin, A. *Org. Lett.* **2017**, *19*, 778. (d) Bai, D.; Yu, Y.; Guo, H.; Chang, J.; Li, X. *Angew. Chem. Int. Ed.* **2020**, *59*, 2740.
4. (a) Ren, J.-T.; Wang, J.-X.; Tian, H.; Xu, J.-L.; Hu, H.; Aslam, M.; Sun, M. *Org. Lett.* **2018**, *20*, 6636. (b) Xu, J.-L.; Tian, H.; Kang, J.-H.; Kang, W.-X.; Sun, W.; Sun, R.; Li, Y.-M.; Sun, M. *Org. Lett.* **2020**, *22*, 6739. (c) Yuan, W.; Li, X.; Qi, Z.; Li, X. *Org. Lett.* **2022**, *24*, 2093.
5. CCDC 2377854 contain the supplementary crystallographic data for compound **3v**. These data can be obtained free of charge from The Cambridge Crystallographic Data Center via [www.ccdc.cam.ac.uk/data\\_request/cif](http://www.ccdc.cam.ac.uk/data_request/cif).
6. CCDC 2391288 contain the supplementary crystallographic data for compound **5b**. These data can be obtained free of charge from The Cambridge Crystallographic Data Center via [www.ccdc.cam.ac.uk/data\\_request/cif](http://www.ccdc.cam.ac.uk/data_request/cif).
7. CCDC 2391289 contain the supplementary crystallographic data for compound **7a**. These data can be obtained free of charge from The Cambridge Crystallographic Data Center via [www.ccdc.cam.ac.uk/data\\_request/cif](http://www.ccdc.cam.ac.uk/data_request/cif).