

**SYNTHESIS AND CYTOTOXIC ACTIVITY OF WRIGHTIADIONE  
AND ITS DERIVATIVES**

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**Table S1.** Radical scavenging, antioxidant, anti-inflammatory activity, and aromatase inhibitory activities of wrightiadiene derivatives

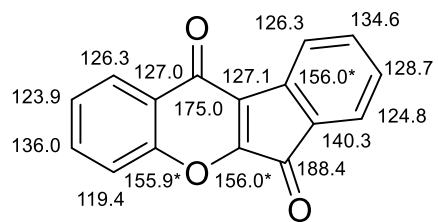
Entry	Compounds	Assay/IC <sub>50</sub>						ORAC <sup>[g]</sup> (unit)
		DPPH <sup>[a]</sup>	HL-60 Antiox. <sup>[b]</sup>	XXO <sup>[c]</sup>	IXO <sup>[d]</sup>	AIA <sup>[e]</sup>	LOX <sup>[f]</sup>	
1	<b>1a</b>	I (28%)	I (27%), pp	I (0%), pp	High BG, pp	I (0%), pp	I (37%)	0.2±0.1
2	<b>1b</b>	I (11%)	I (0%)	I (2%)	I (7%)	I (11%)	I (0%)	0.1±0
3	<b>1c</b>	I (25%)	I (1%)	I (0%)	Abnormal OD	I (0%)	I (19%)	0.1±0.1
4	<b>1d</b>	I (19%)	I (22%), pp	I (0%), pp	High BG, pp	I (7%), pp	I (34%)	0.2
5	<b>1e</b>	I (6%)	I (35%), pp	I (0%)	Abnormal OD	I (9%)	I (47%)	0
6	<b>1f</b>	I (21%)	I (5%), pp	I (0%), BG,pp	High BG, pp	I (8%), pp	I (28%)	0.2±0
7	<b>1g</b>	I (3%)	I (22%)	I (0%), pp	I (0%)	I (3%)	I (42%), Bg	0
8	<b>1h</b>	I (6%)	I (37%)	I (5%), pp	I (16%)	I (1%)	I (9%)	0
9	<b>1i</b>	I (8%)	I (28%)	I (4%)	I (9%), HighBG	I (7%)	I (29%)	0
10	<b>1j</b>	I (30%)	I (18%), pp	I (0%)	I (0%), pp	I (6%)	I (37%)	0.2±0.2
11	<b>1k</b>	I (37%)	I (17%)	I (12%), BG,pp	I (0%), pp	I (8%), pp	I (37%)	0.2±0
12	<b>1l</b>	-	-	-	-	-	-	-
13	<b>1m</b>	-	-	-	-	-	-	-
14	<b>1n</b>	-	-	-	-	-	-	-
15	<b>1o</b>	I (4%)	I (16%)	I (4%)	I (22%)	I (0%)	I (25%)	0.1±0
16	<b>1p</b>	-	-	-	-	-	-	-
17	<b>1q</b>	-	-	-	-	-	-	-
18	<b>1r</b>	I (5%)	I (20%)	I (5%), pp	I (3%)	I (5%)	I (18%)	0
19	<b>1s</b>	-	-	-	-	-	-	-
Final Screening Concentration		125 μM	50 μM	250 μM	250 μM	50 μM	6.25 μM	1 μM

[a] DPPH: Scavenging of diphenyl picrylhydrazyl radical. [b] XXO: Scavenging of O<sub>2</sub><sup>•-</sup> generating by xanthine/xanthine oxidase. [c] IXO: Inhibition of xanthine oxidase. [d] HL-60 Antiox.: Scavenging of O<sub>2</sub><sup>•-</sup> generating by differentiated HL-60 cell. [e] LOX: Inhibition of lipoxigenase. [f] AIA: Inhibition of aromatase. [g] ORAC: Oxygen radical absorbance capacity (against ROO<sup>•</sup>). -: not determined.

**Table S2.** Preliminary in vitro study of inhibitory effect of wirghtiadione on *plasmodium falciparum* (94)<sup>a</sup>

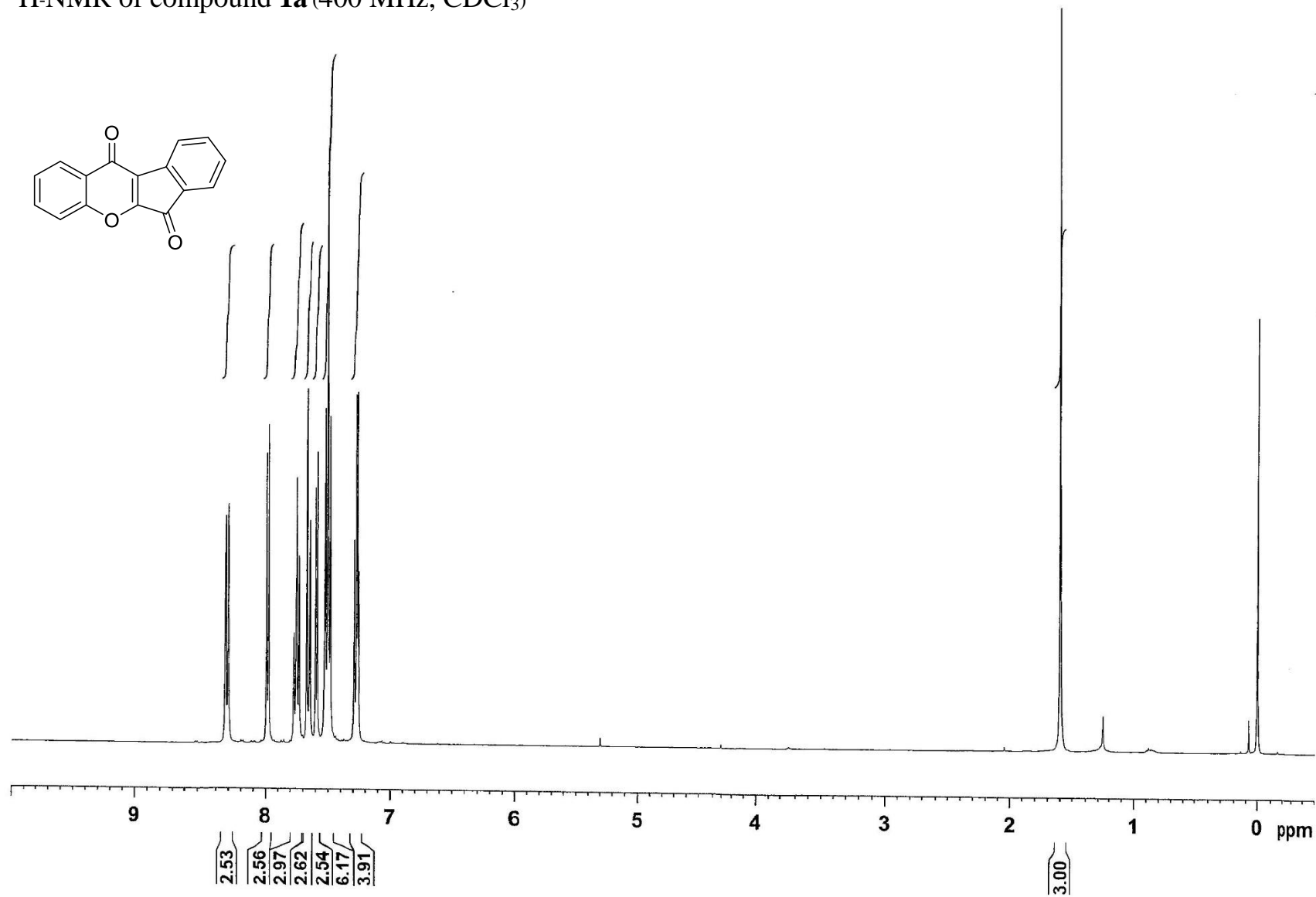
Entry	Compounds	% inhibitory	
		1 x 10 <sup>-6</sup> M	1 x 10 <sup>-5</sup> M
1	<b>1a</b>	6.98	16.28
2	<b>1b</b>	0	0
3	<b>1c</b>	0	0
4	<b>1d</b>	0	11.63
5	<b>1e</b>	0	6.98
6	<b>1f</b>	-	-
7	<b>1g</b>	0	0
8	<b>1h</b>	0	1.82
9	<b>1i</b>	0	6.98
10	<b>1j</b>	0	27.27
11	<b>1k</b>	0	1.82
12	<b>1l</b>	-	-
13	<b>1m</b>	-	-
14	<b>1n</b>	0	0
15	<b>1o</b>	-	-
16	<b>1p</b>	-	-
17	<b>1q</b>	-	-
18	<b>1r</b>	2.73	5.45
19	<b>1s</b>	-	-

<sup>a</sup>All compounds have 0% inhibitory a dose 1x10<sup>-7</sup> to 1x10<sup>-9</sup>M. -: not determined

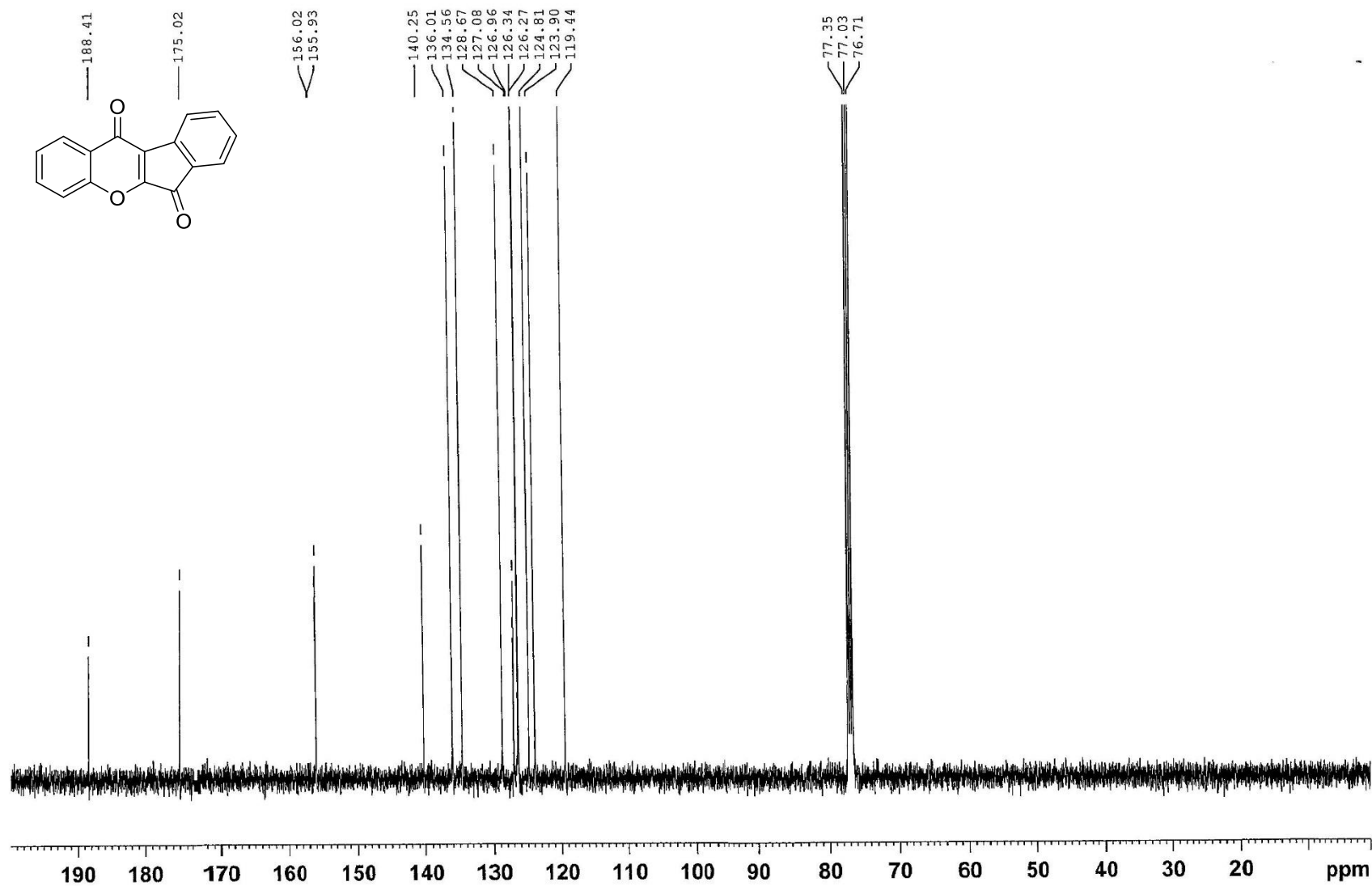


**Figure S1.**  $^{13}\text{C}$  NMR chemical shifts of wrightiadione

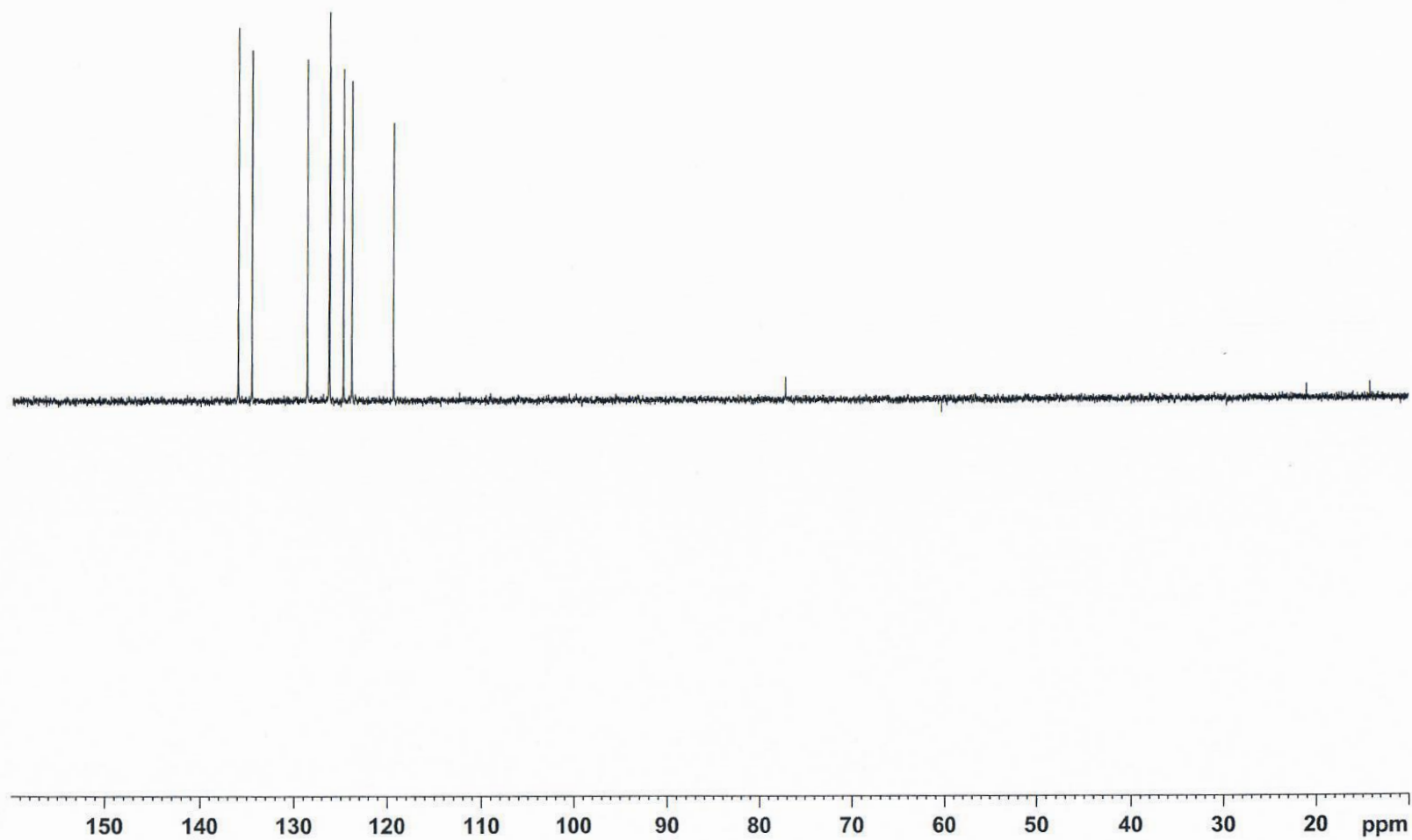
<sup>1</sup>H-NMR of compound **1a** (400 MHz, CDCl<sub>3</sub>)



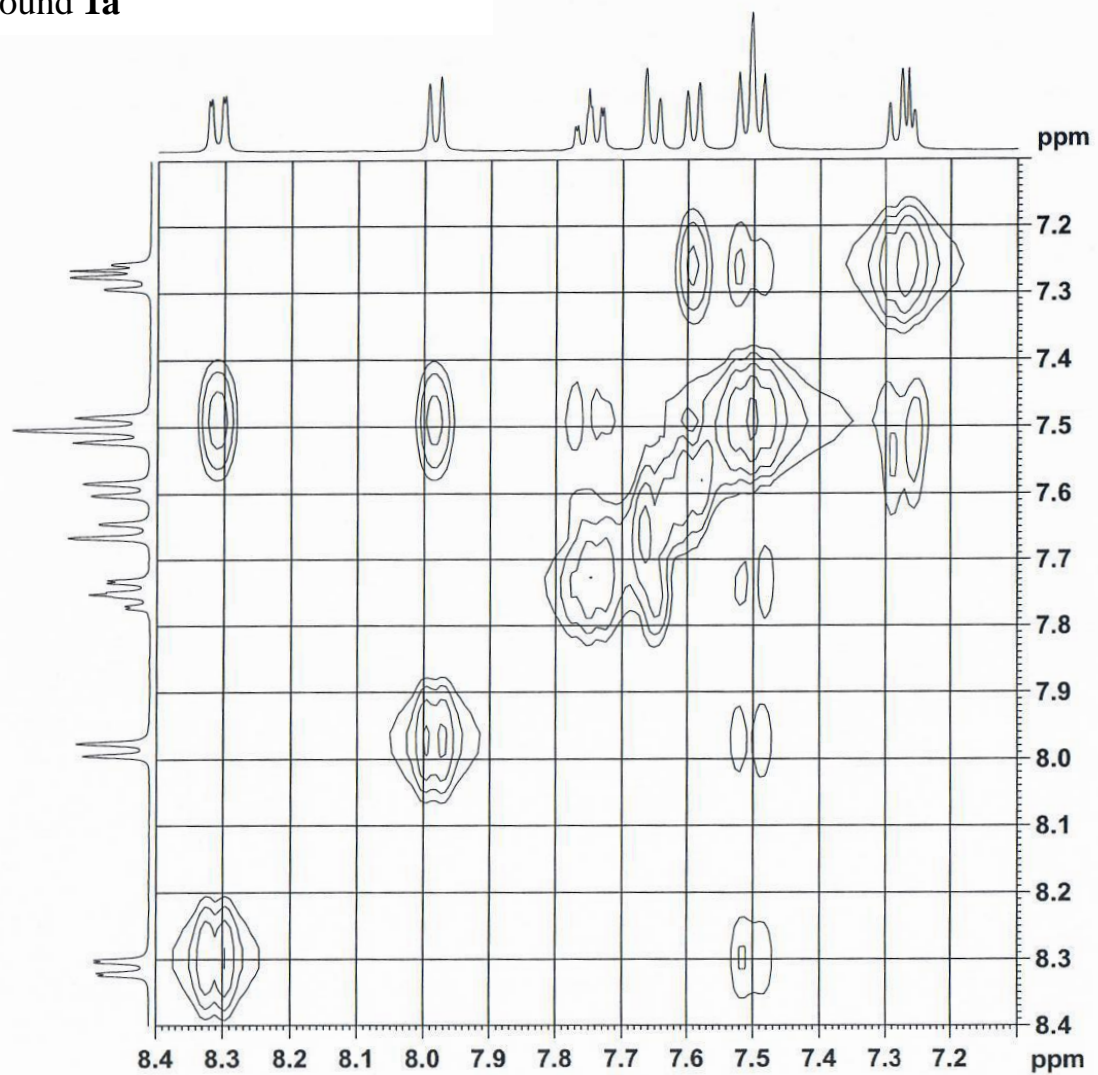
$^{13}\text{C}$ -NMR of compound **1a** (100 MHz,  $\text{CDCl}_3$ )



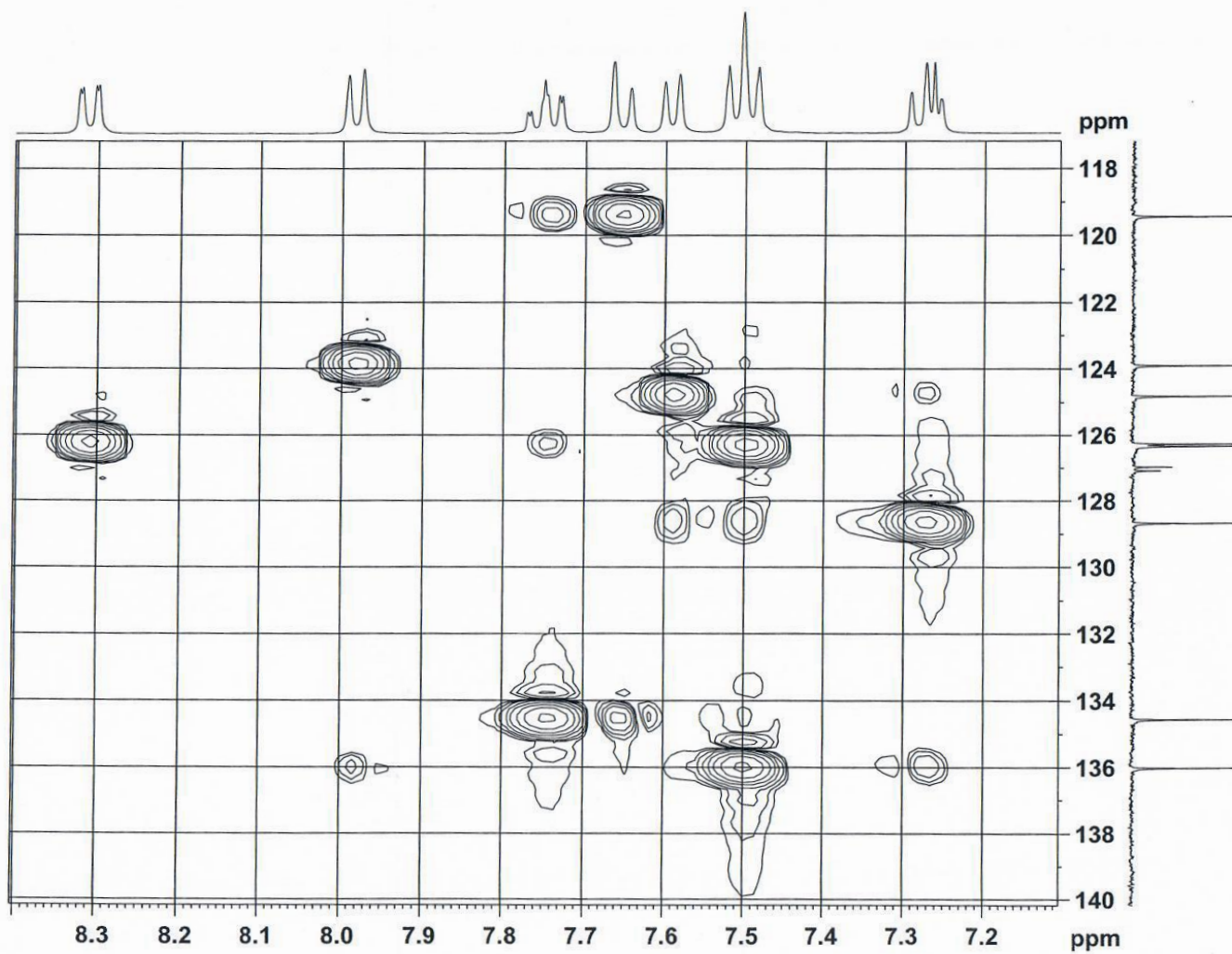
DEPT135 of compound **1a**



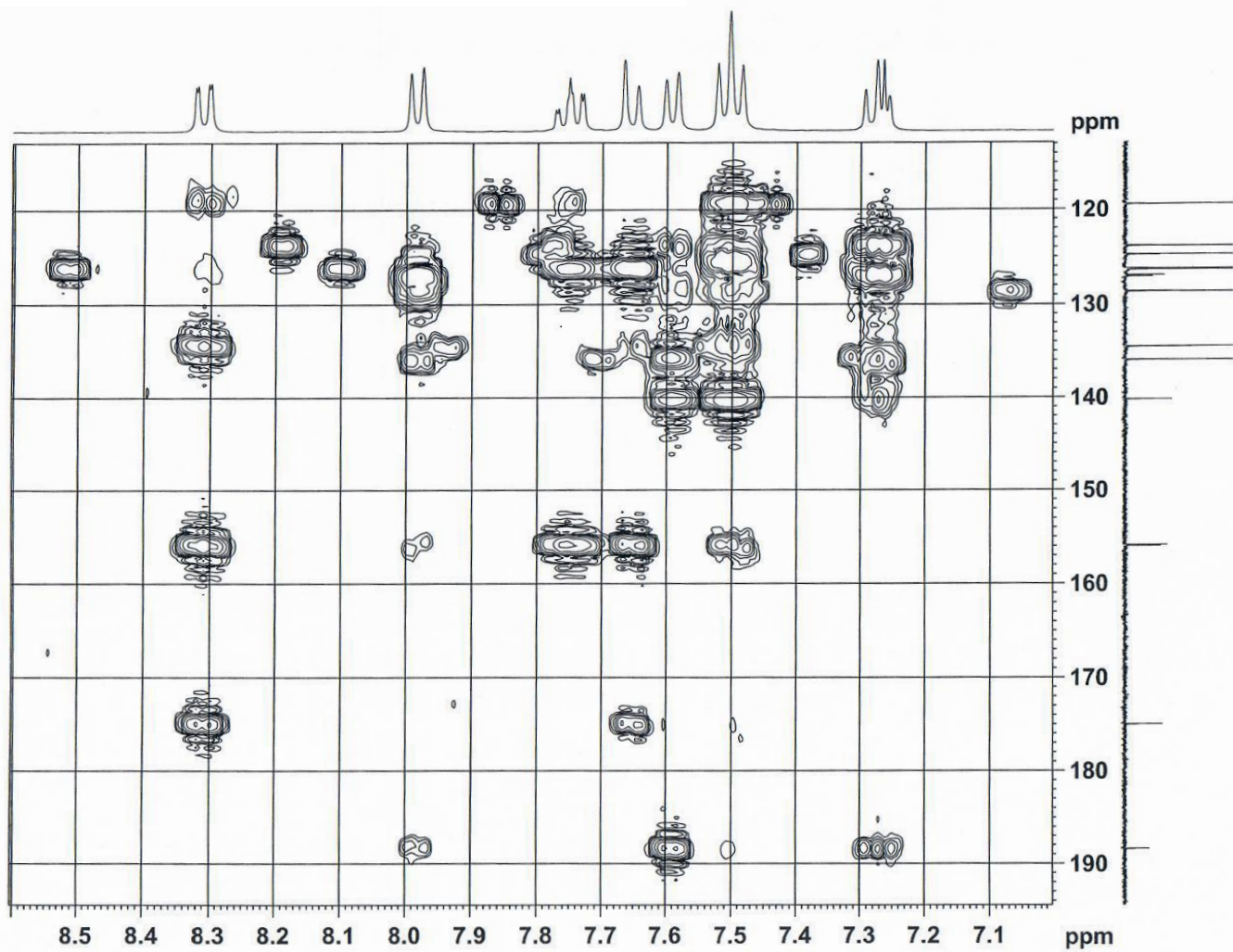
COSY of compound **1a**



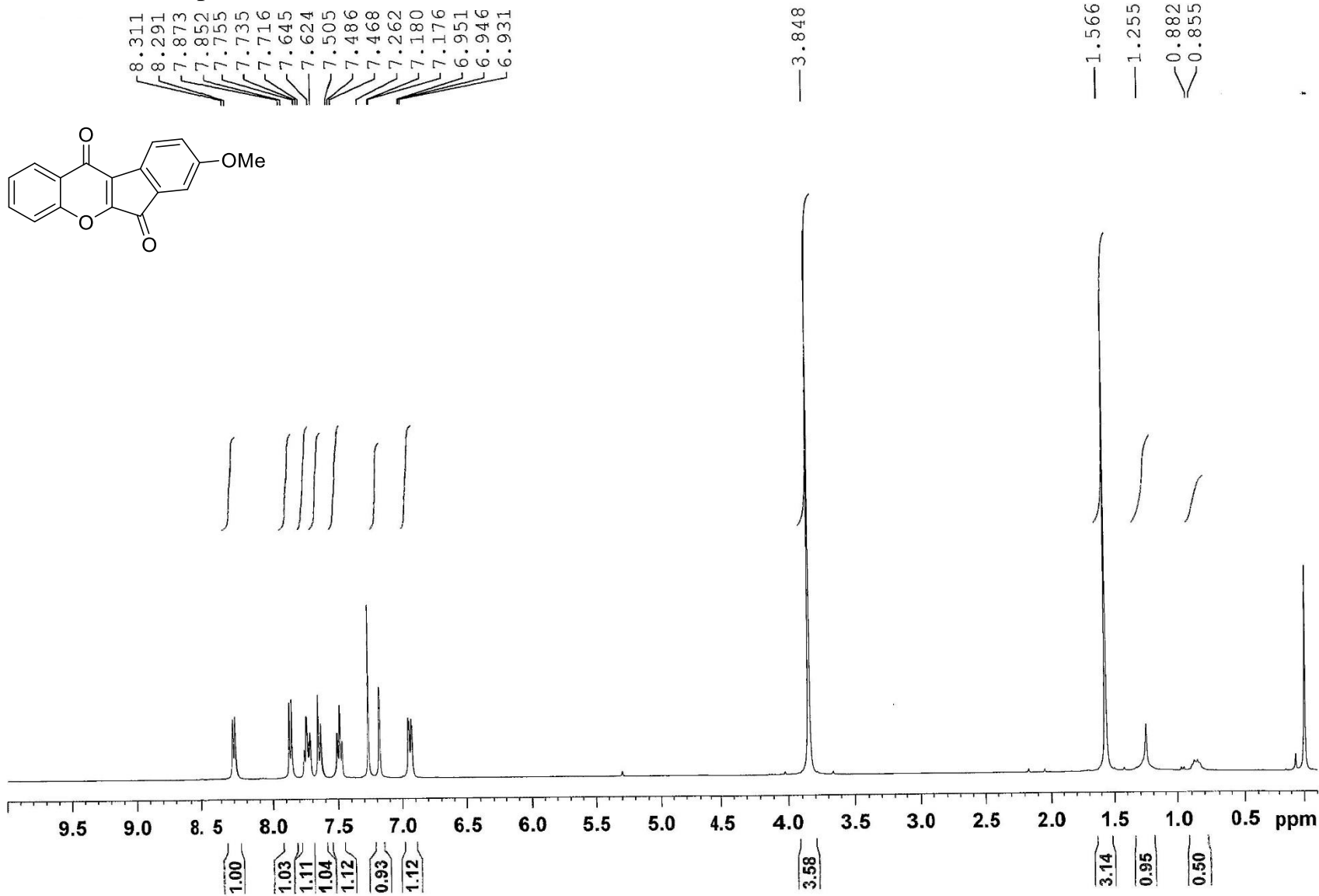
# HSQC of compound **1a**



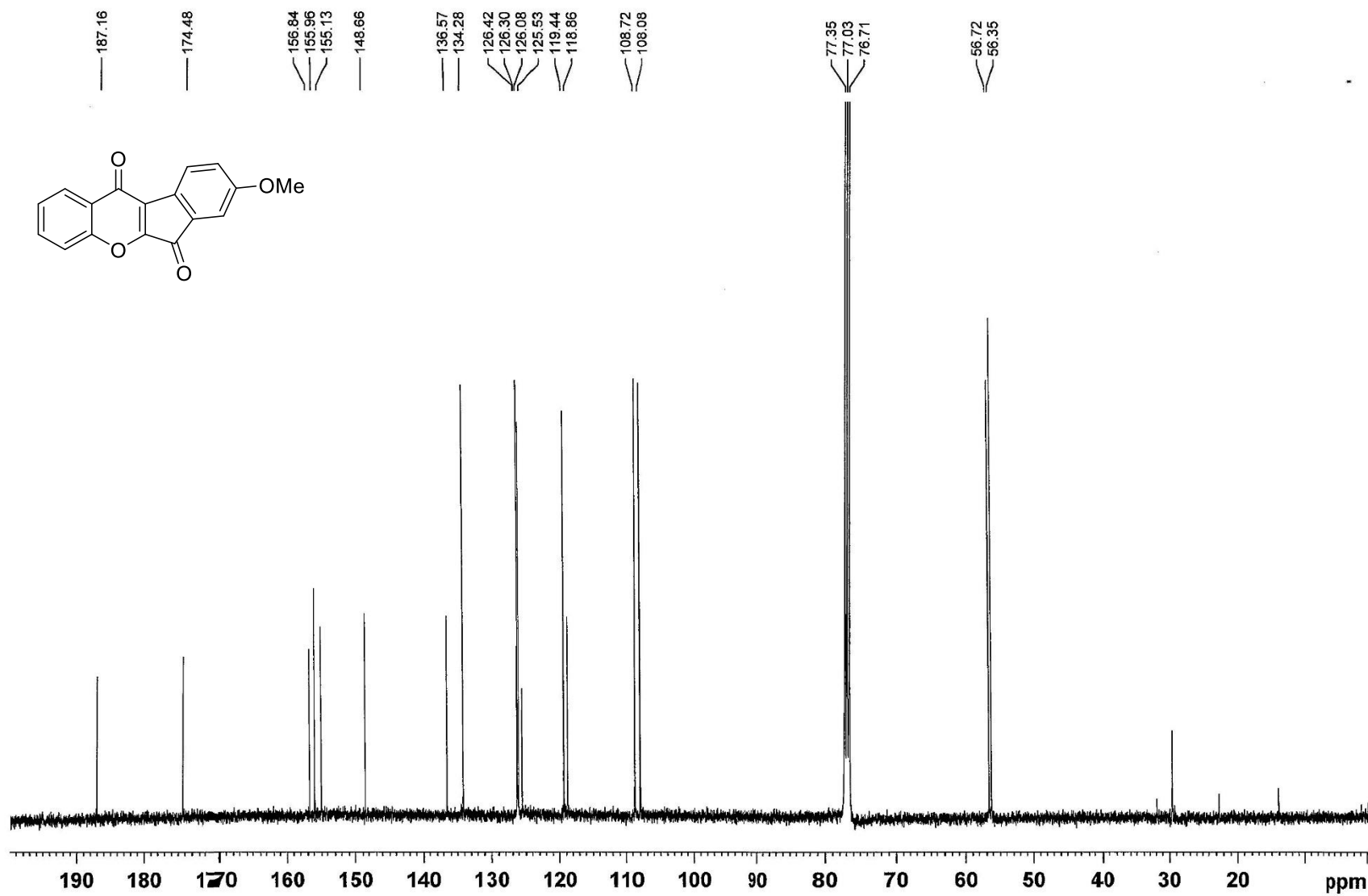
# HMBC of compound **1a**



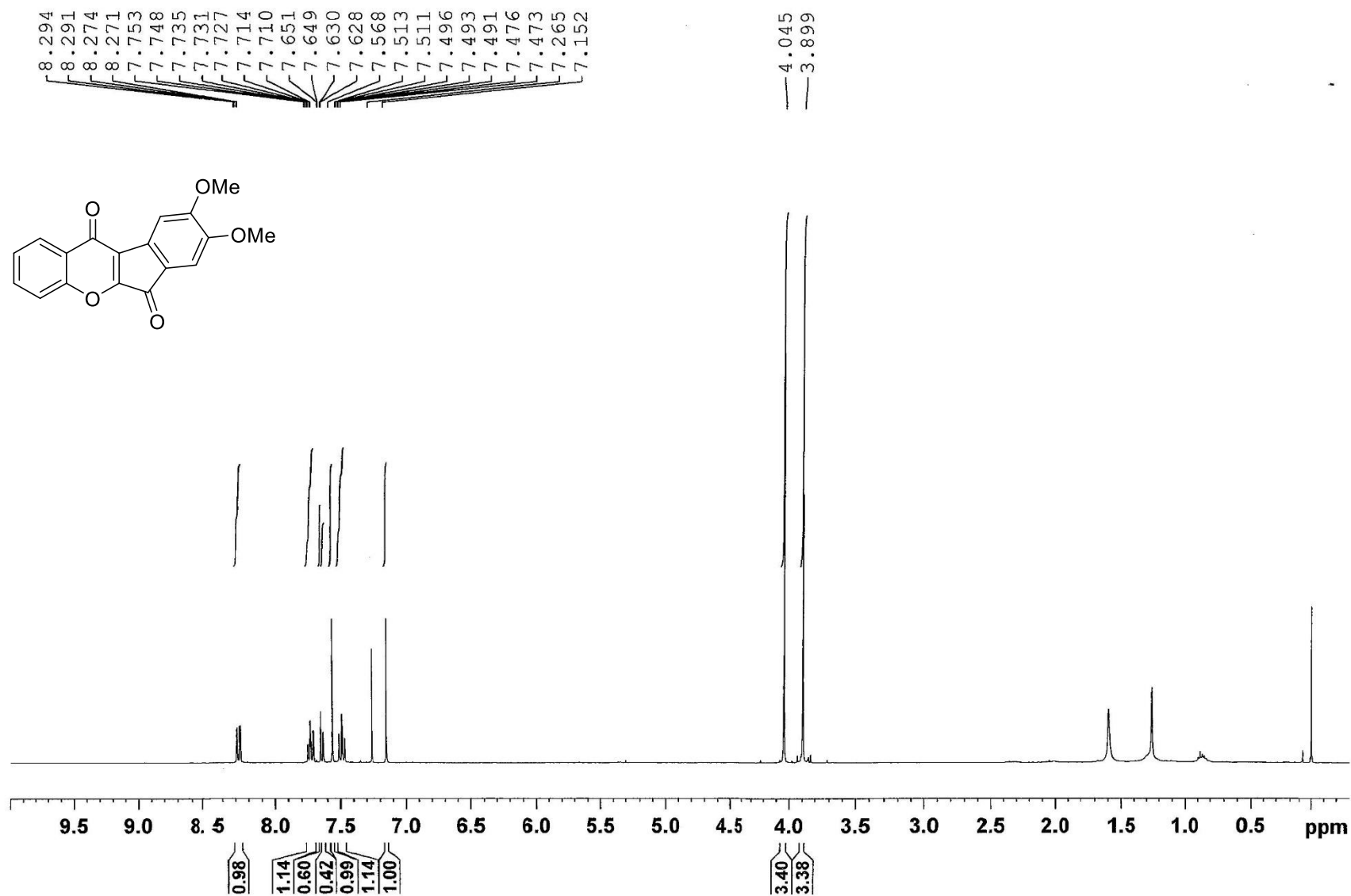
<sup>1</sup>H-NMR of compound **1b** (400 MHz, CDCl<sub>3</sub>)



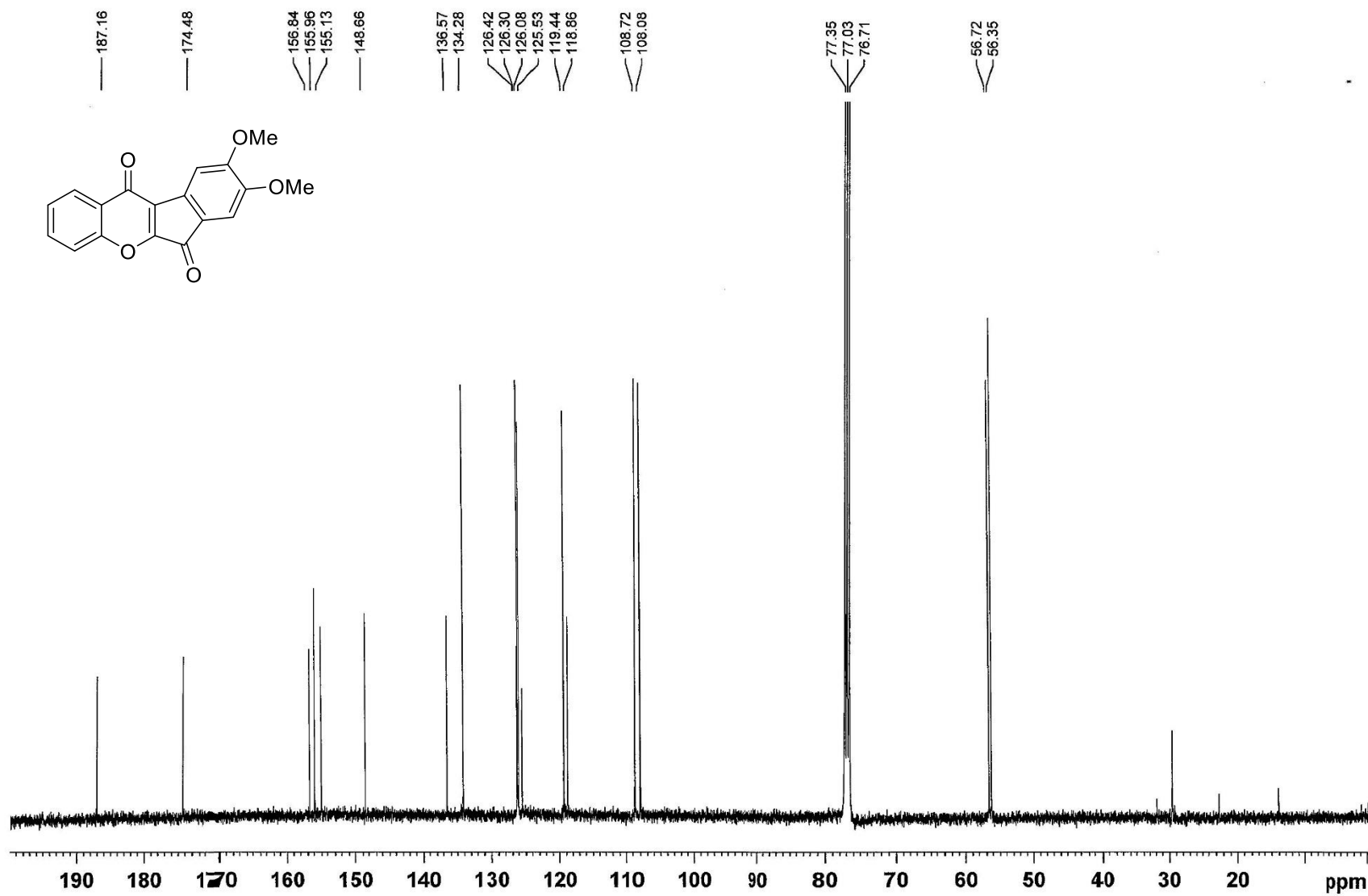
<sup>13</sup>C-NMR of compound **1b** (100 MHz, CDCl<sub>3</sub>)



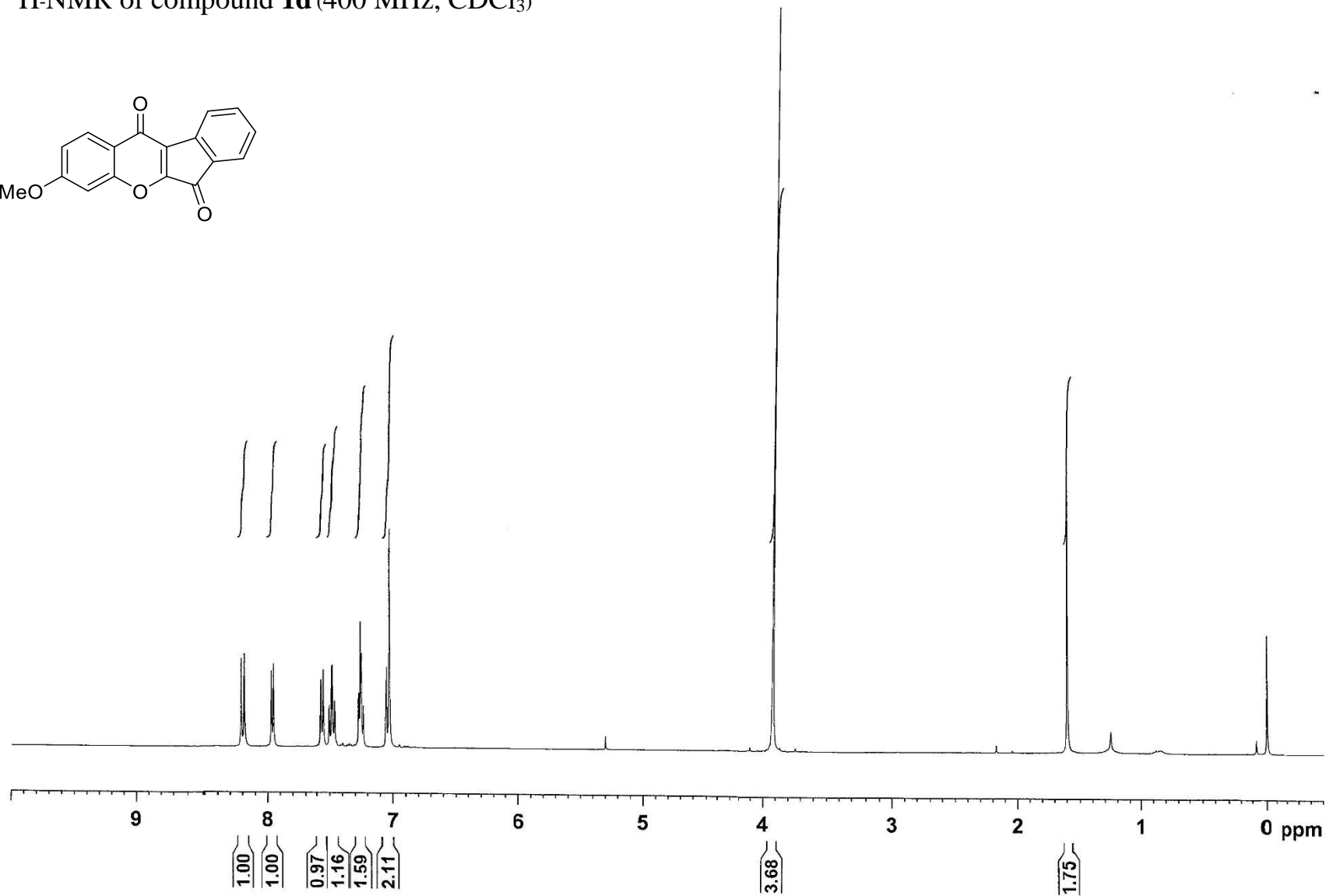
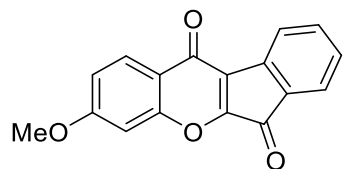
<sup>1</sup>H-NMR of compound **1c** (400 MHz, CDCl<sub>3</sub>)



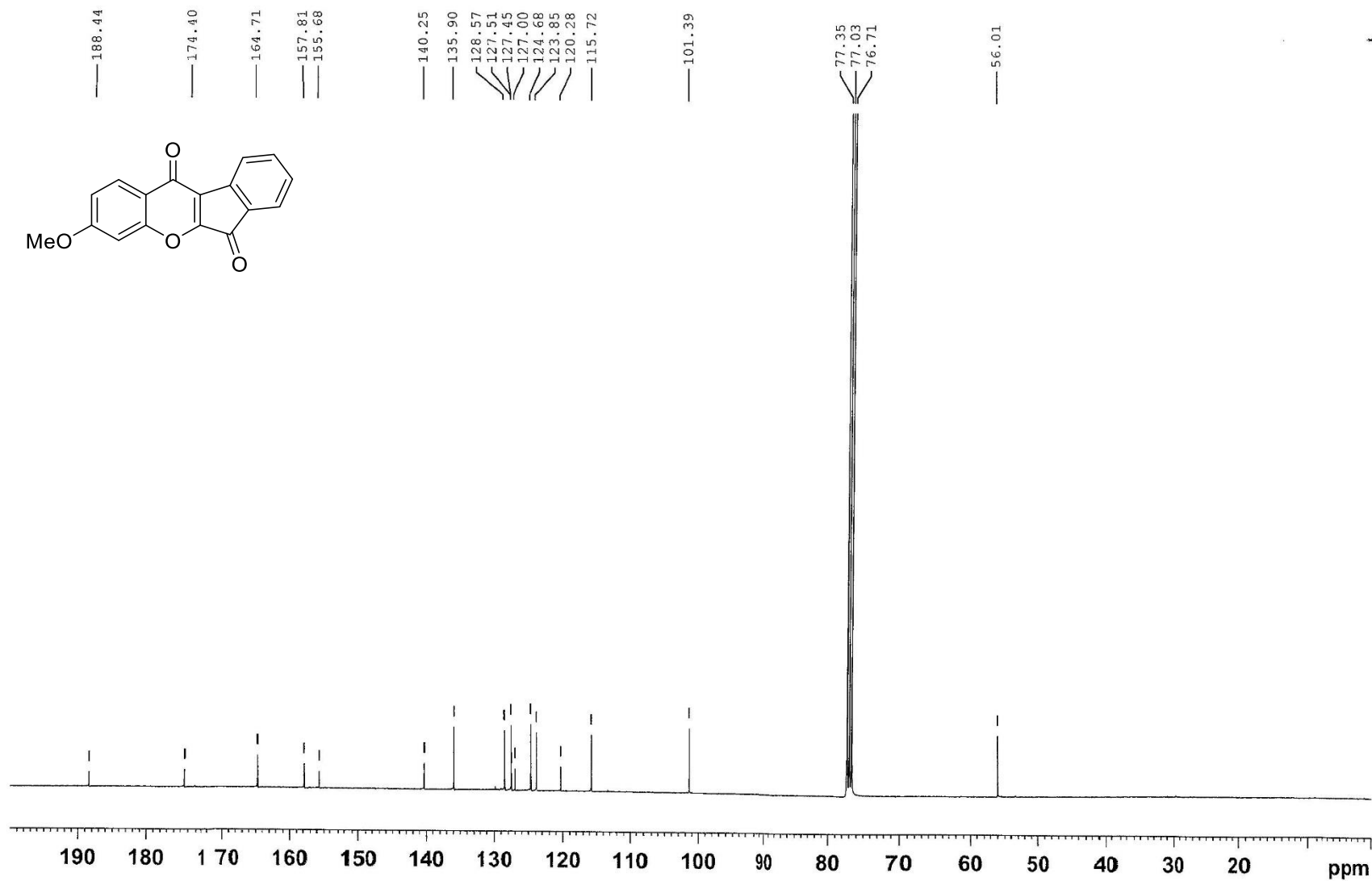
$^{13}\text{C}$ -NMR of compound **1c** (100 MHz,  $\text{CDCl}_3$ )



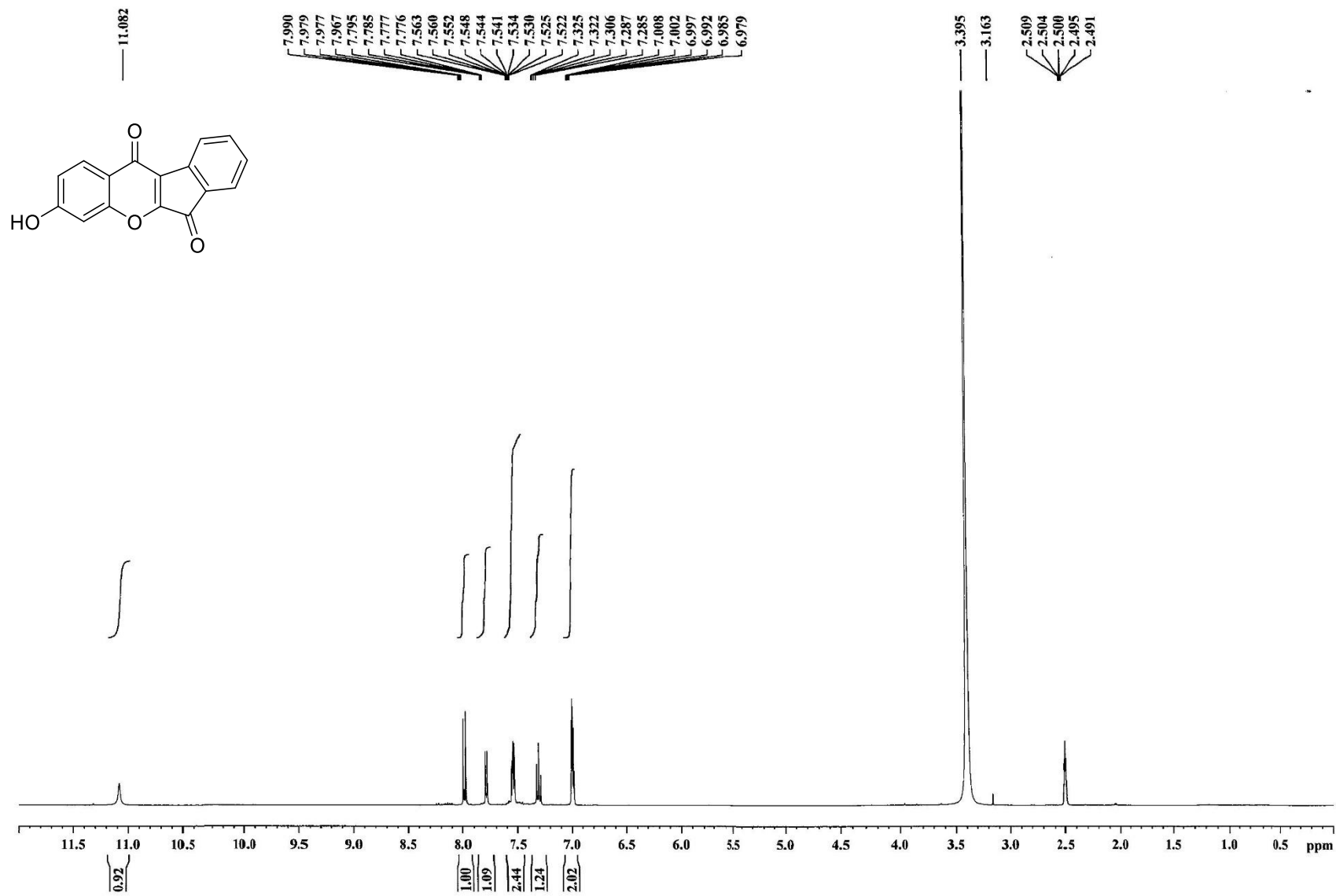
<sup>1</sup>H-NMR of compound **1d** (400 MHz, CDCl<sub>3</sub>)



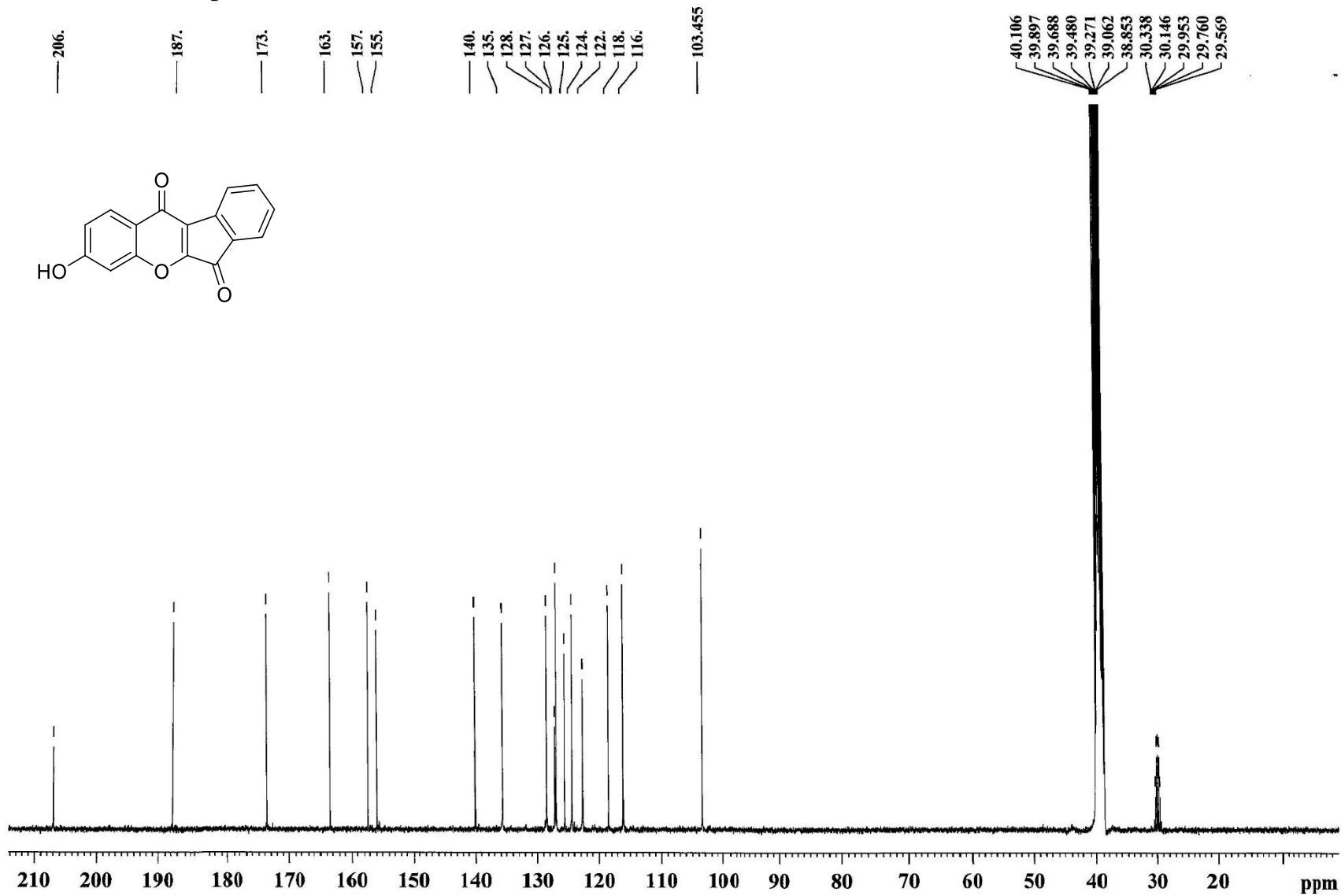
$^{13}\text{C}$ -NMR of compound **1d** (100 MHz,  $\text{CDCl}_3$ )



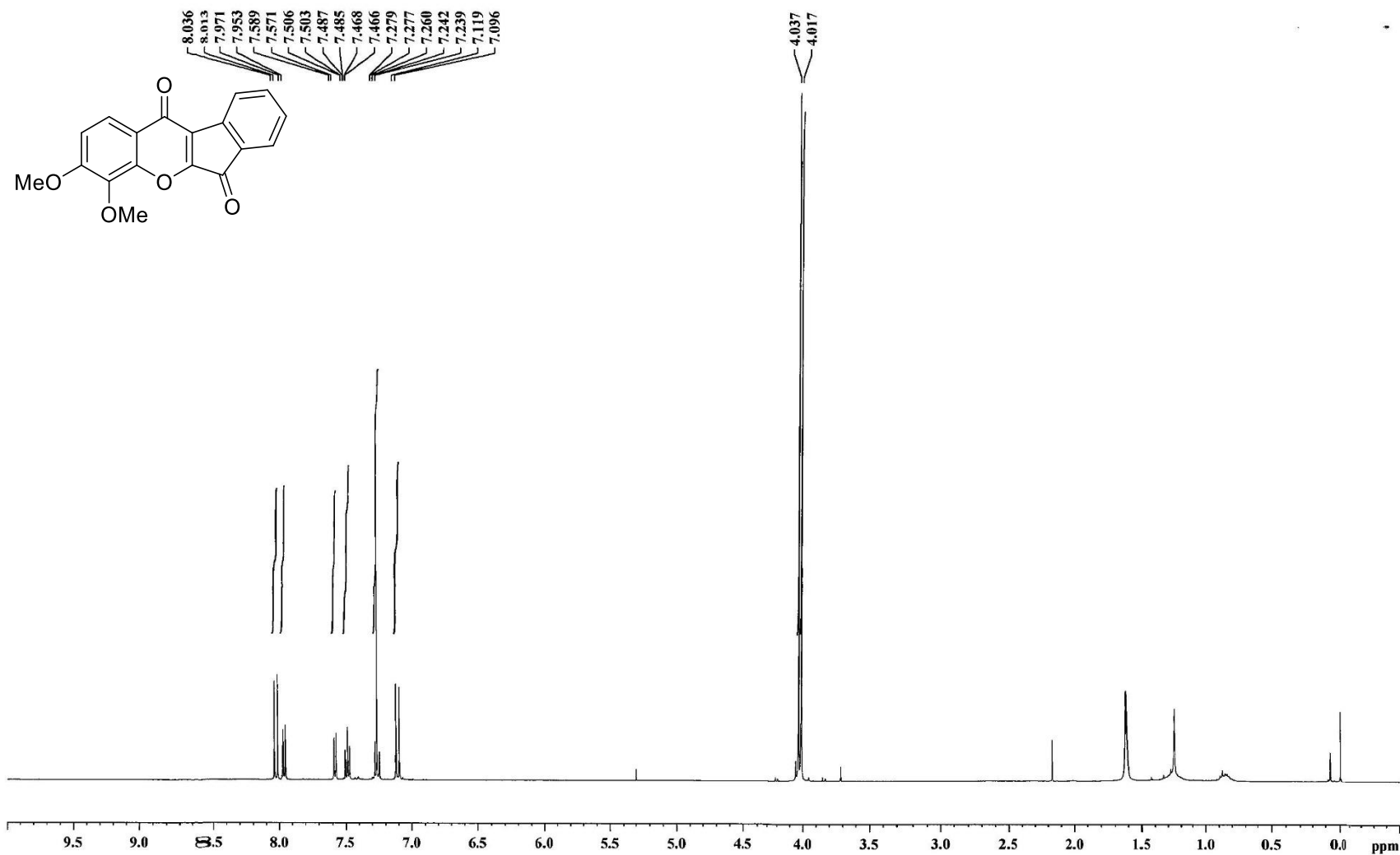
<sup>1</sup>H-NMR of compound **1e** (400 MHz, DMSO-*d*<sub>6</sub>)



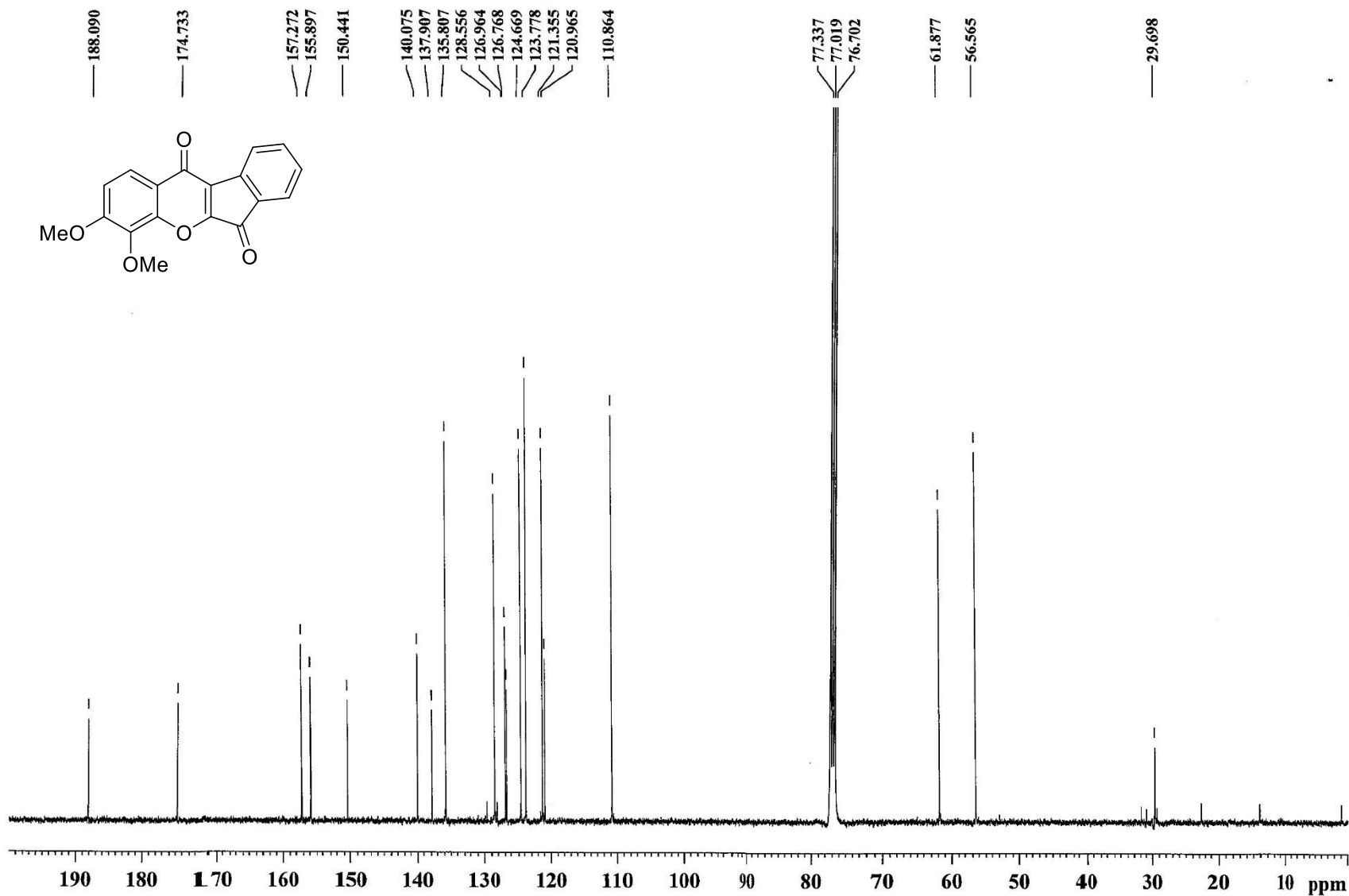
$^{13}\text{C}$ -NMR of compound **1e** (100 MHz,  $\text{DMSO-}d_6$ )



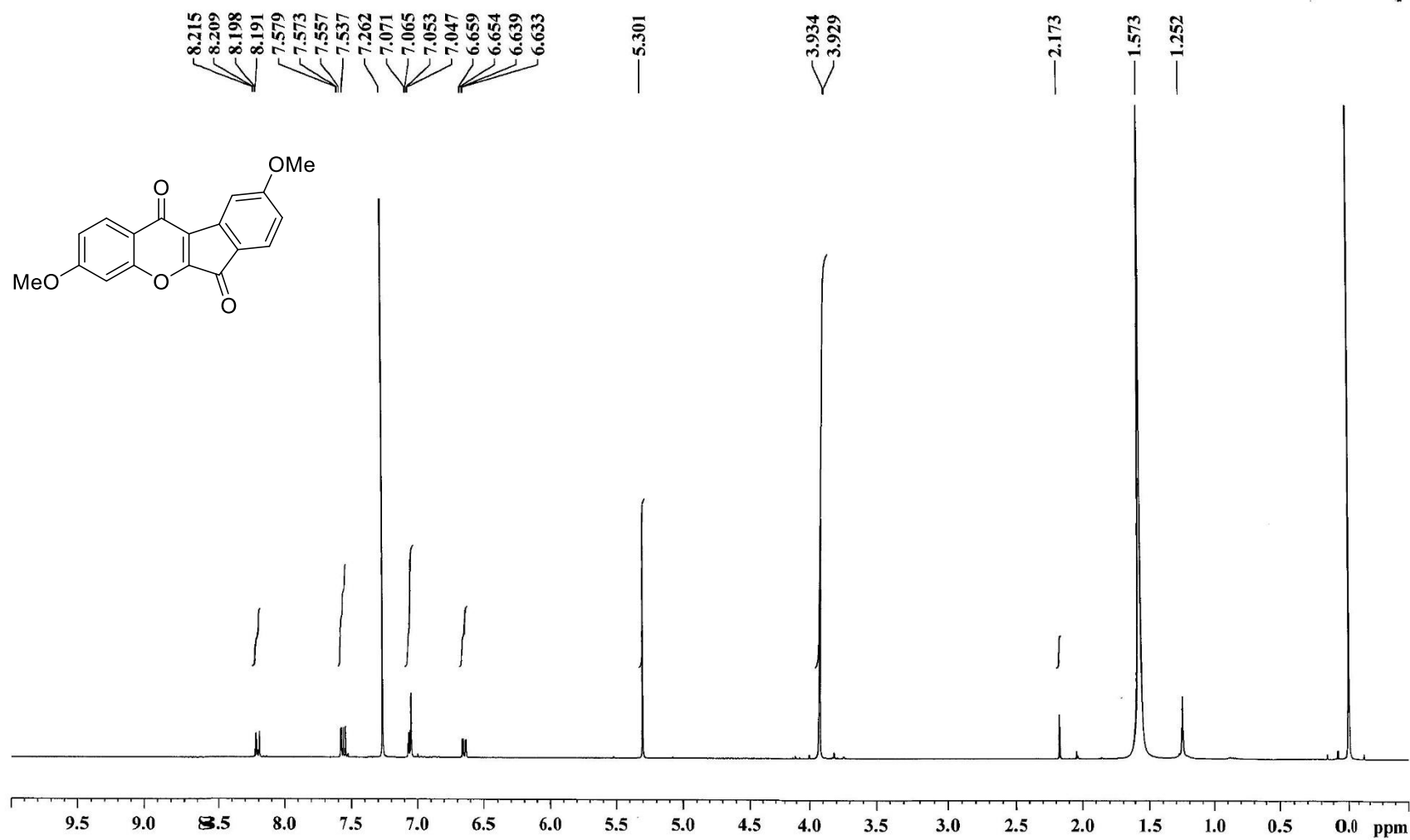
$^1\text{H-NMR}$  of compound **1f** (400 MHz,  $\text{CDCl}_3$ )



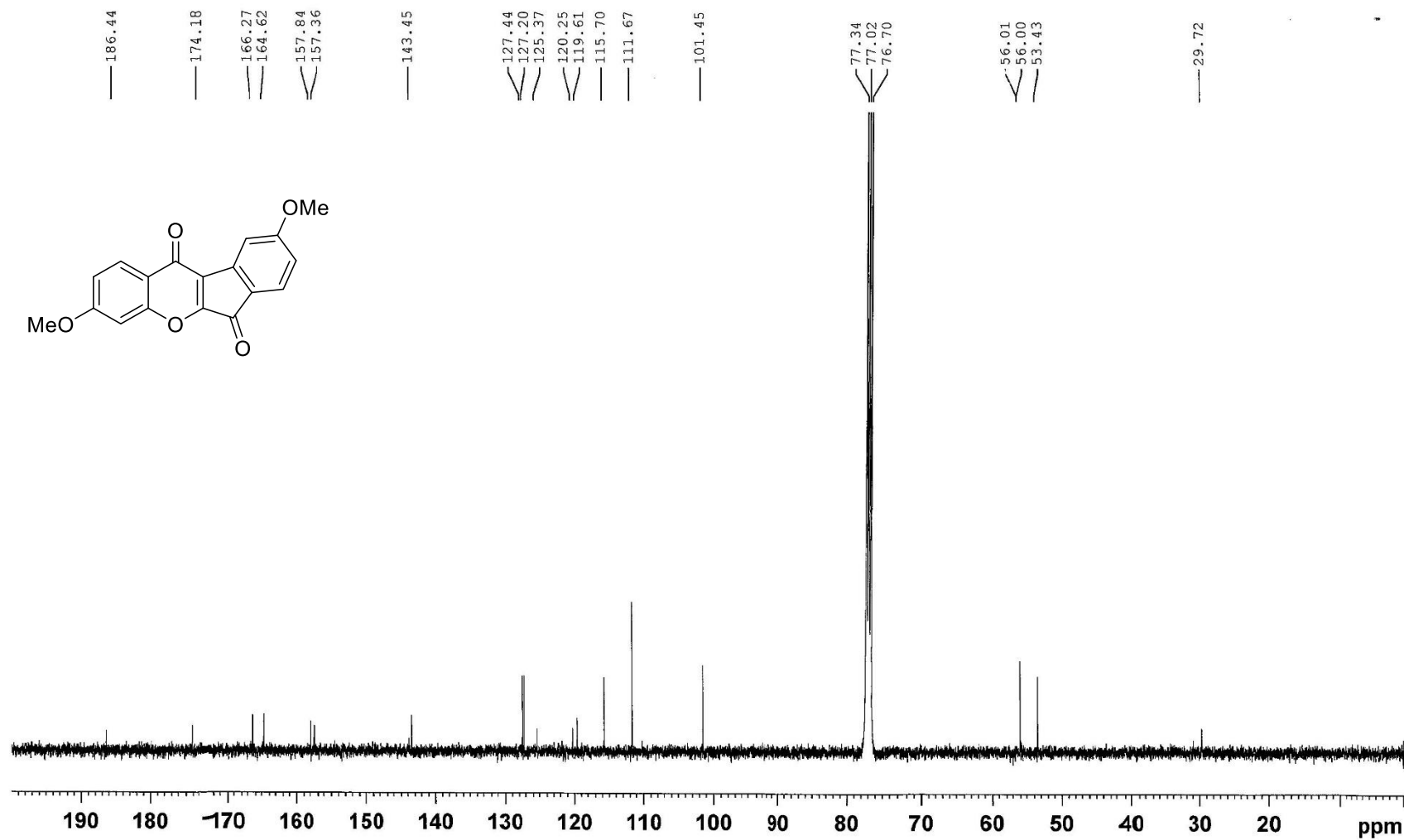
$^{13}\text{C}$ -NMR of compound **1f** (100 MHz,  $\text{CDCl}_3$ )



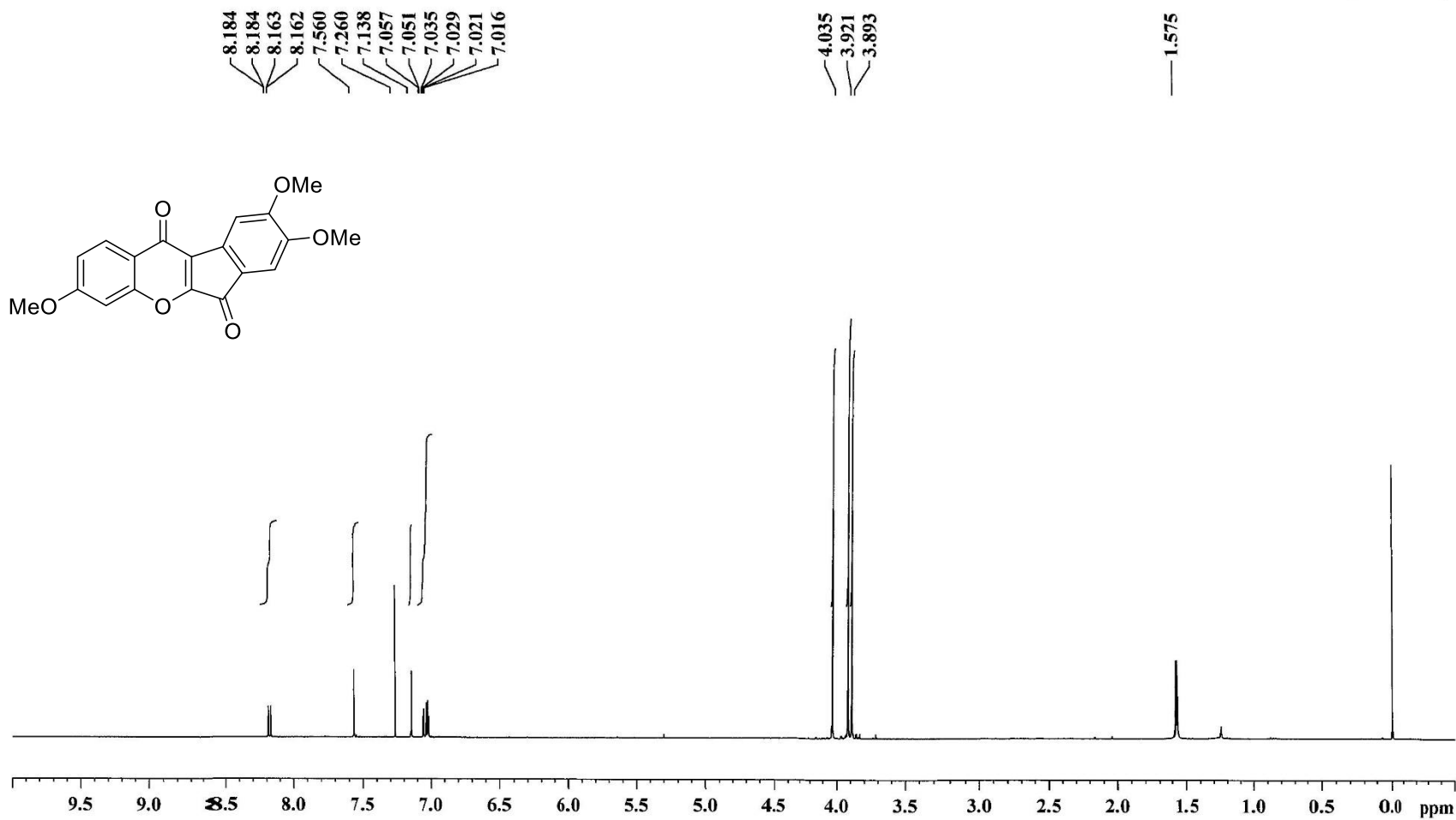
$^1\text{H-NMR}$  of compound **1g** (400 MHz,  $\text{CDCl}_3$ )



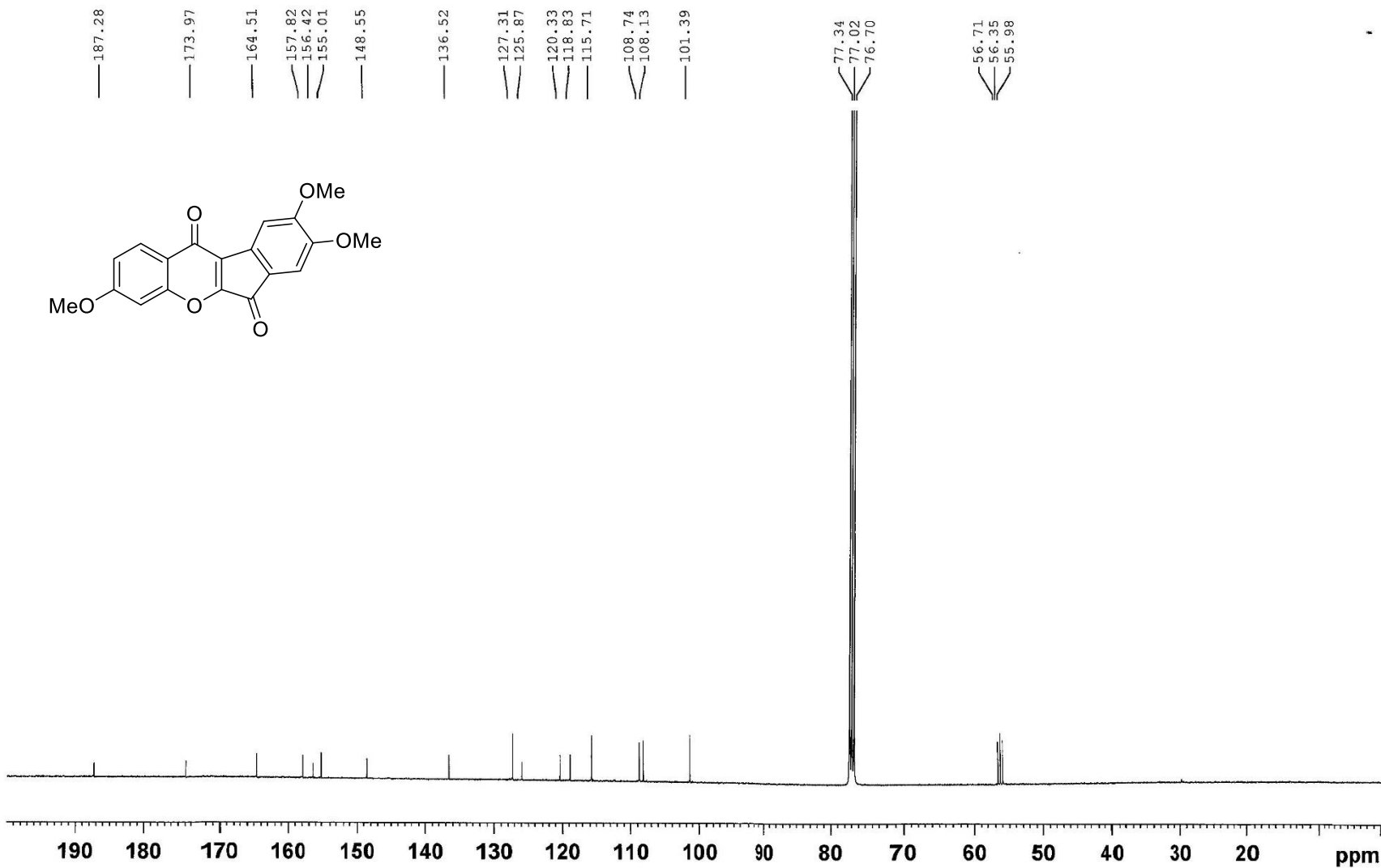
$^{13}\text{C}$ -NMR of compound **1g** (100 MHz,  $\text{CDCl}_3$ )



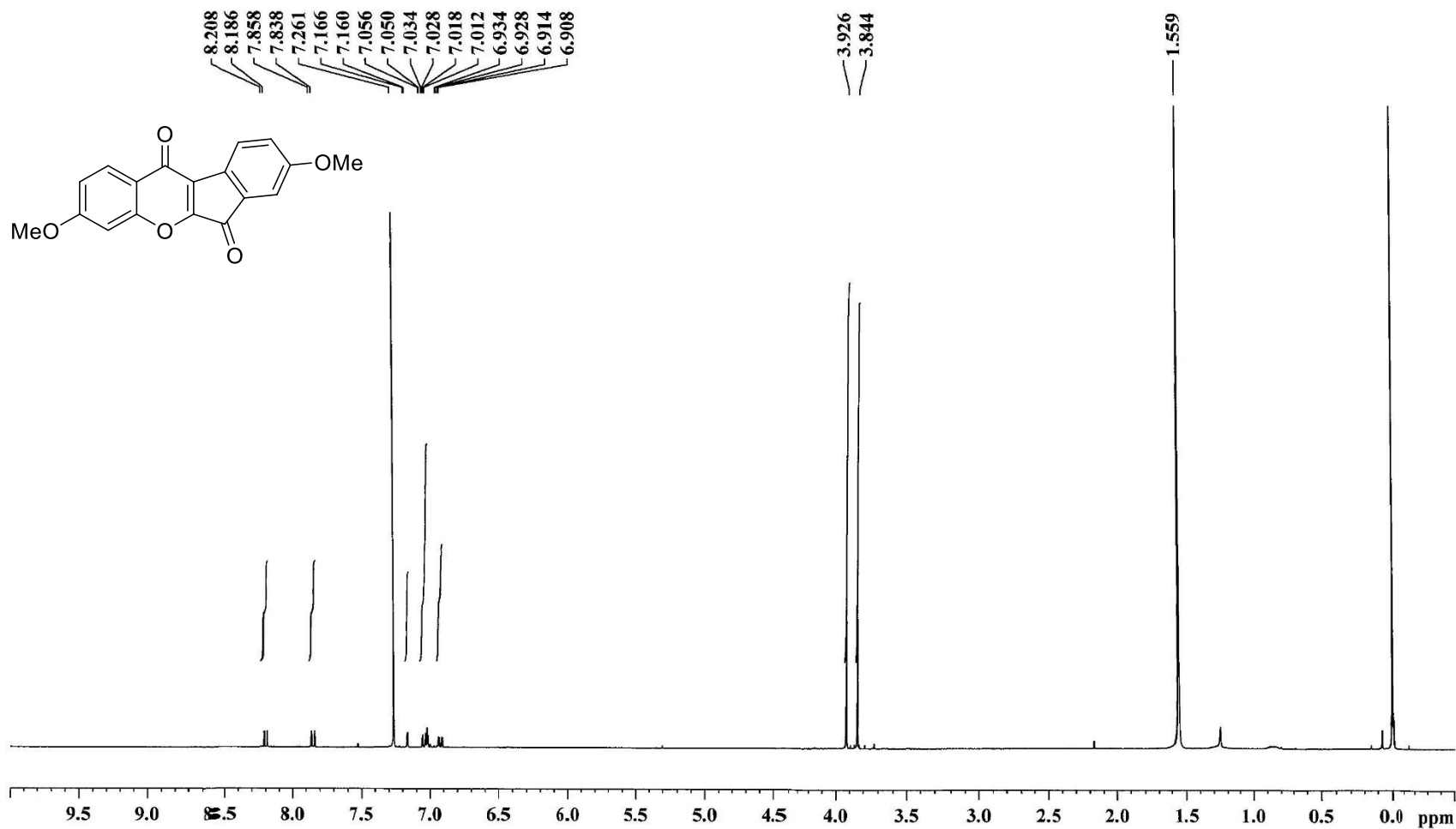
$^1\text{H-NMR}$  of compound **1h** (400 MHz,  $\text{CDCl}_3$ )



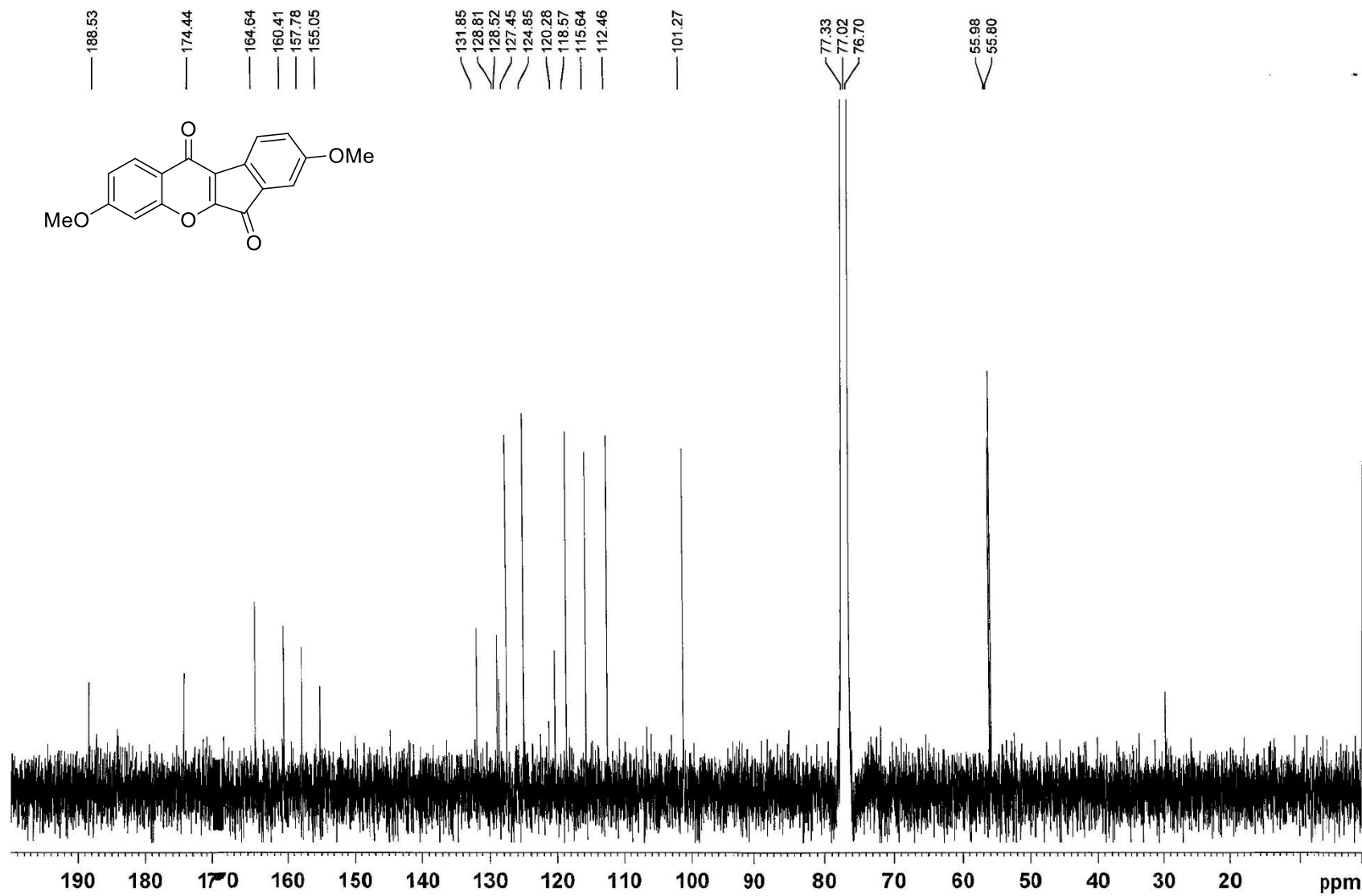
$^{13}\text{C}$ -NMR of compound **1h** (100 MHz,  $\text{CDCl}_3$ )



$^1\text{H-NMR}$  of compound **1i** (400 MHz,  $\text{CDCl}_3$ )

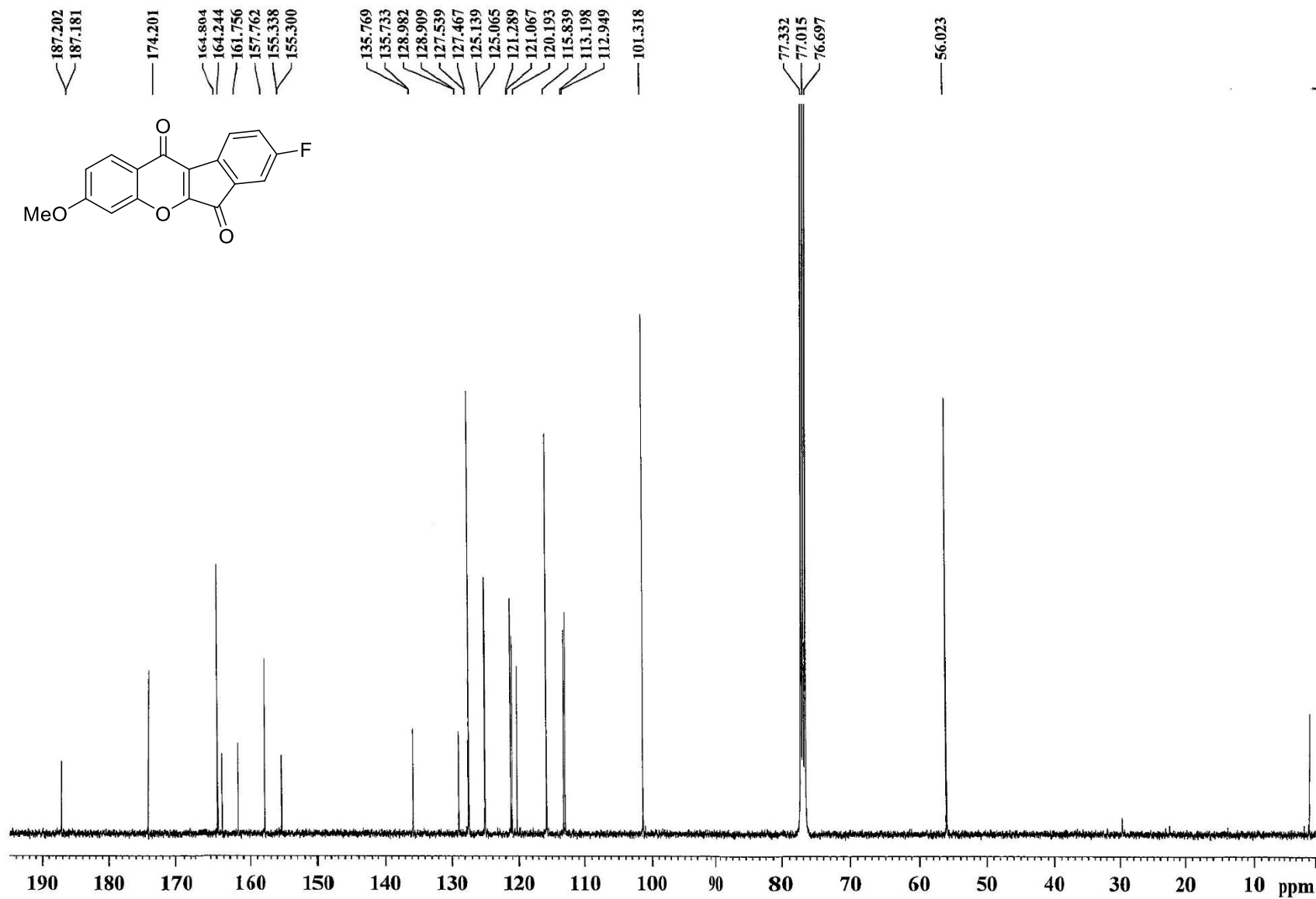


$^{13}\text{C}$ -NMR of compound **1i** (100 MHz,  $\text{CDCl}_3$ )

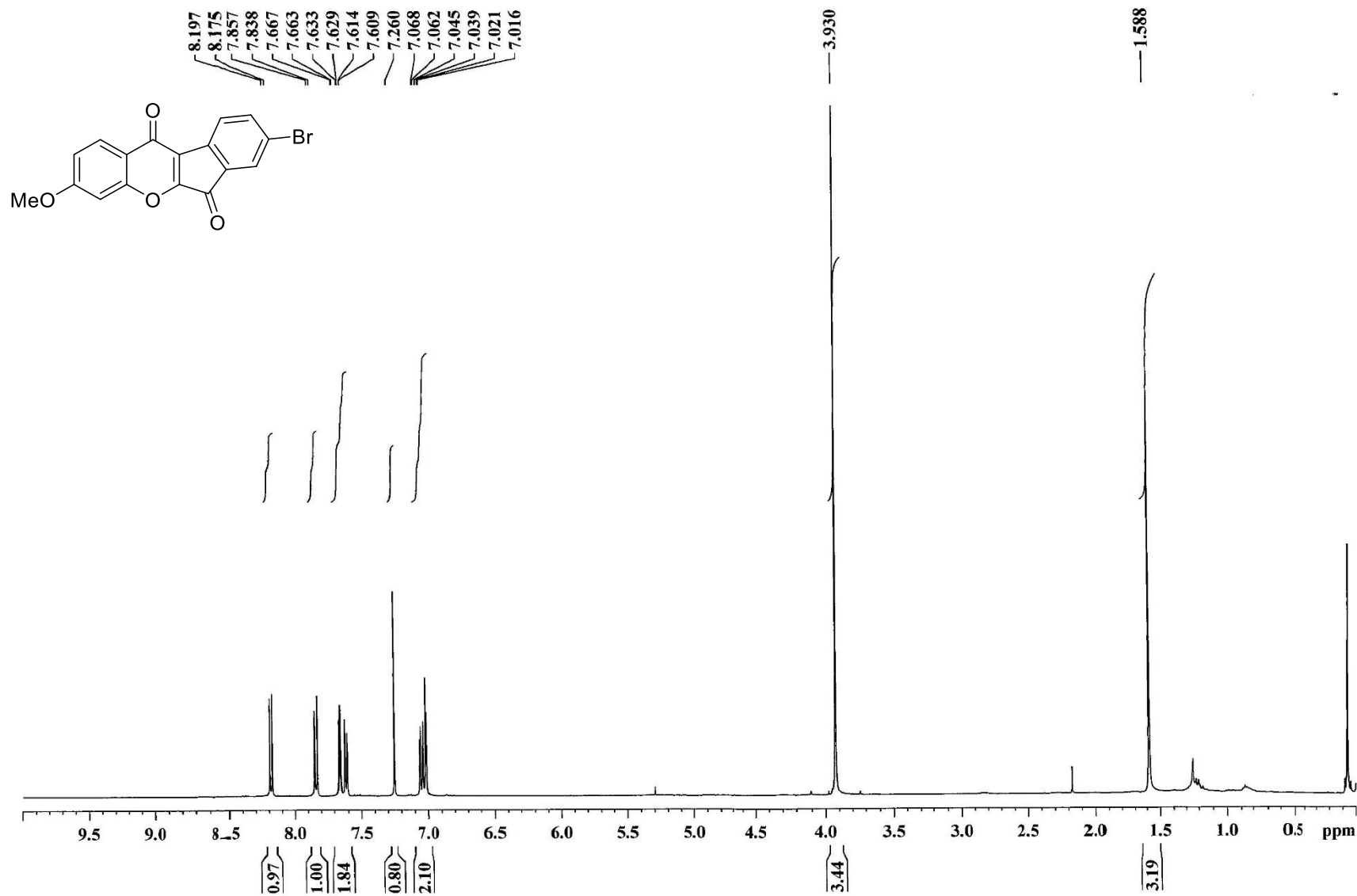




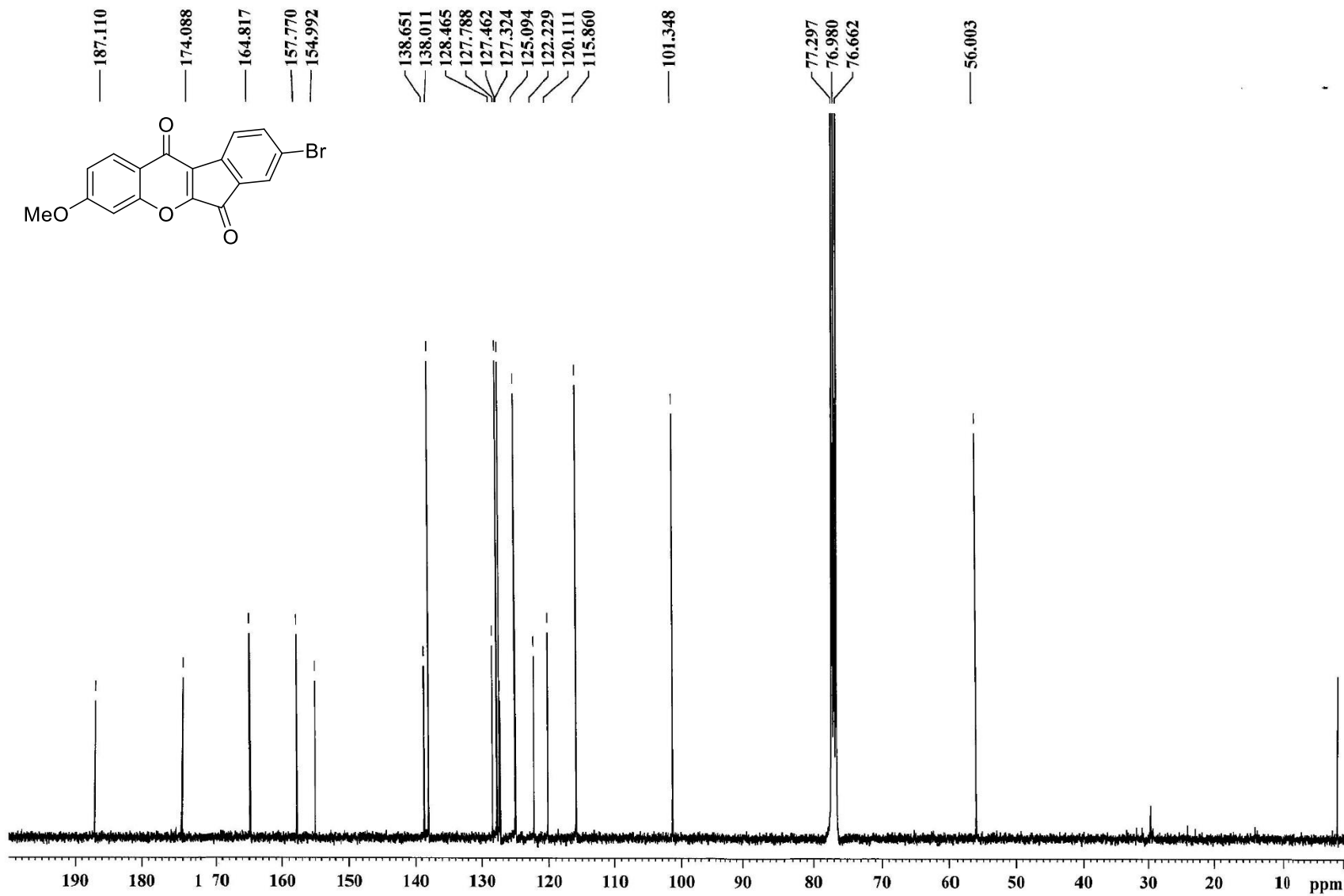
$^{13}\text{C}$ -NMR of compound **1j** (100 MHz,  $\text{CDCl}_3$ )



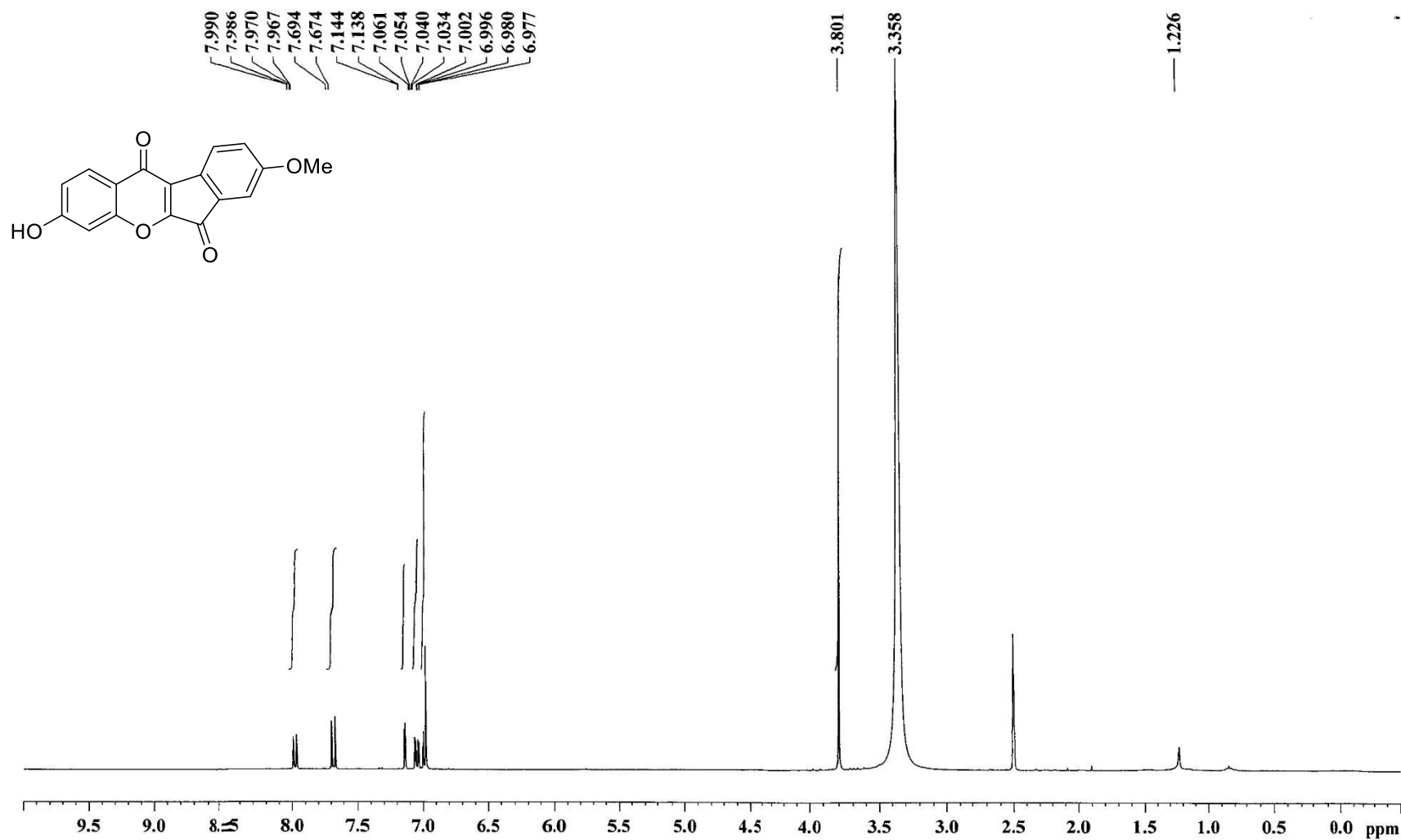
<sup>1</sup>H-NMR of compound **1k** (400 MHz, CDCl<sub>3</sub>)



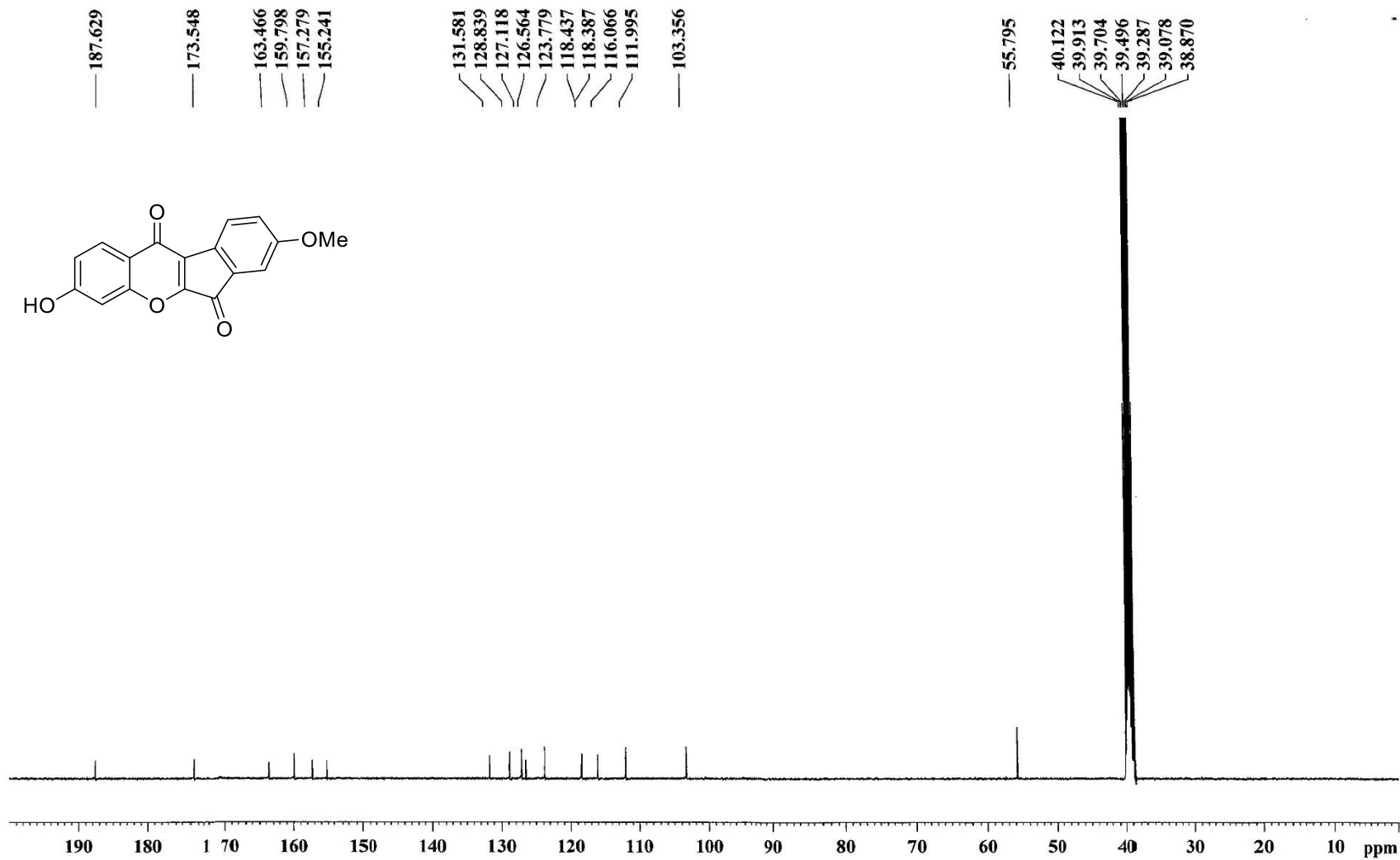
<sup>13</sup>C-NMR of compound **1k** (100 MHz, CDCl<sub>3</sub>)



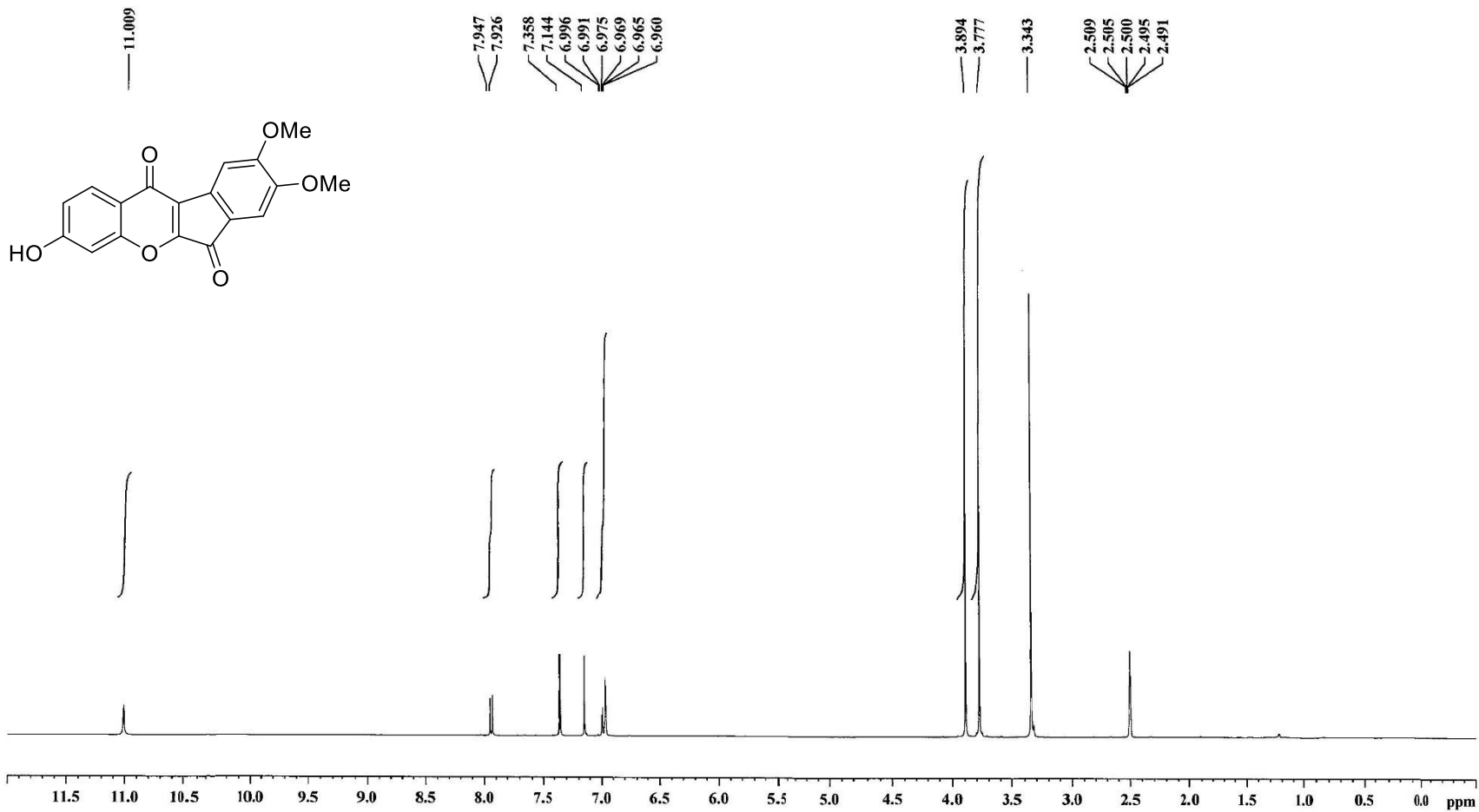
$^1\text{H-NMR}$  of compound **11** (400 MHz,  $\text{DMSO-}d_6$ )



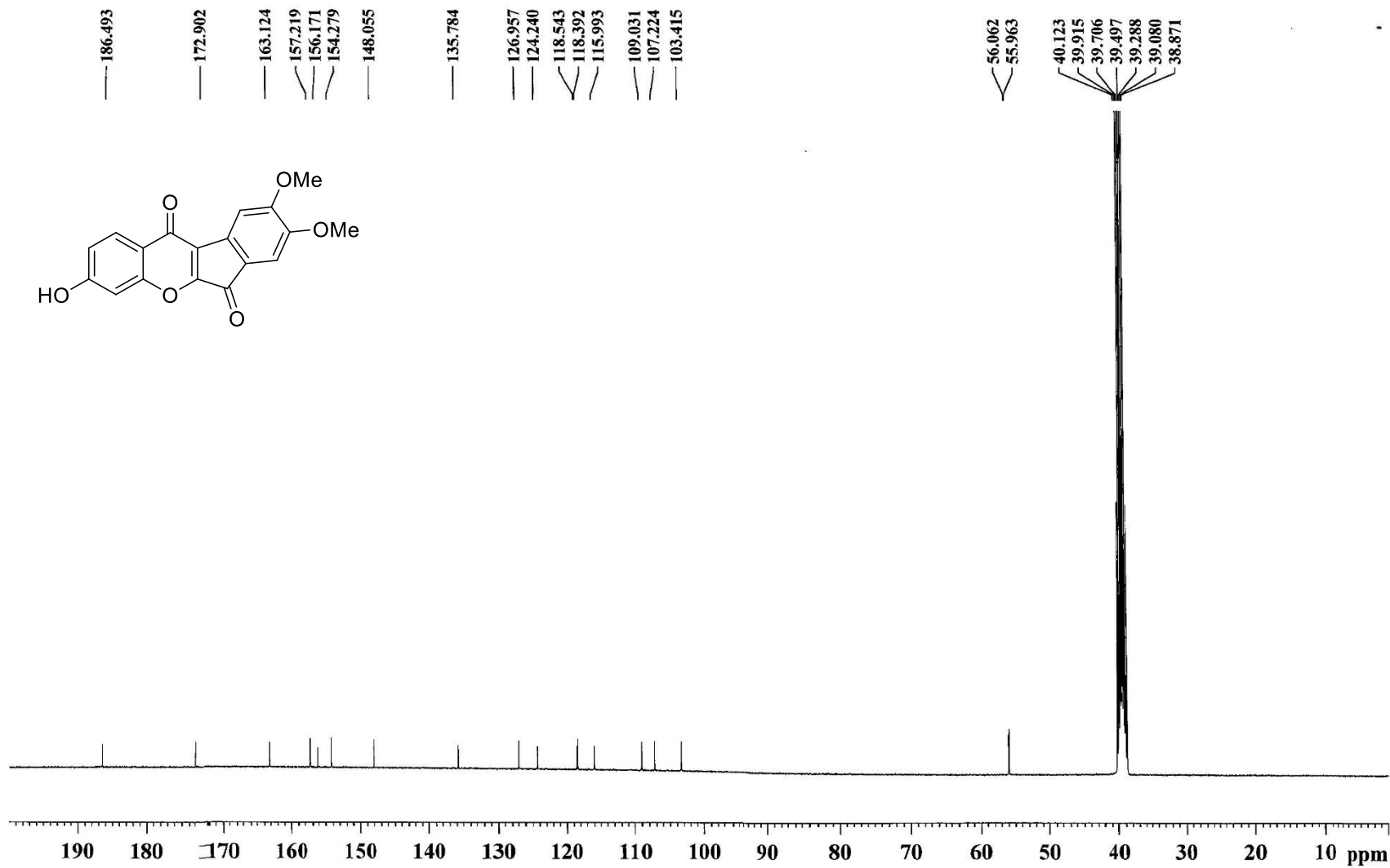
$^{13}\text{C}$ -NMR of compound **11** (100 MHz,  $\text{DMSO-}d_6$ )



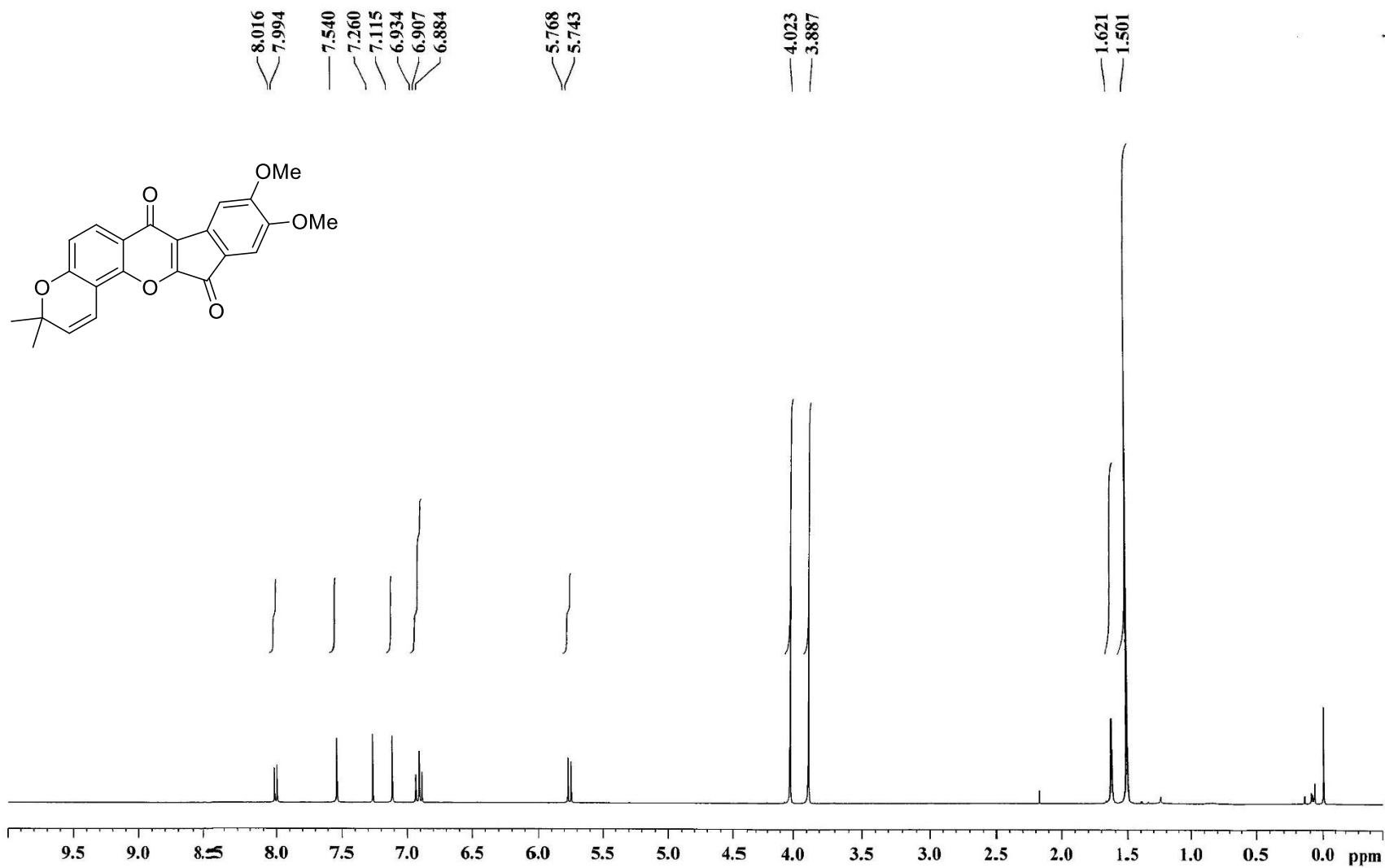
$^1\text{H-NMR}$  of compound **1m** (400 MHz,  $\text{DMSO-}d_6$ )



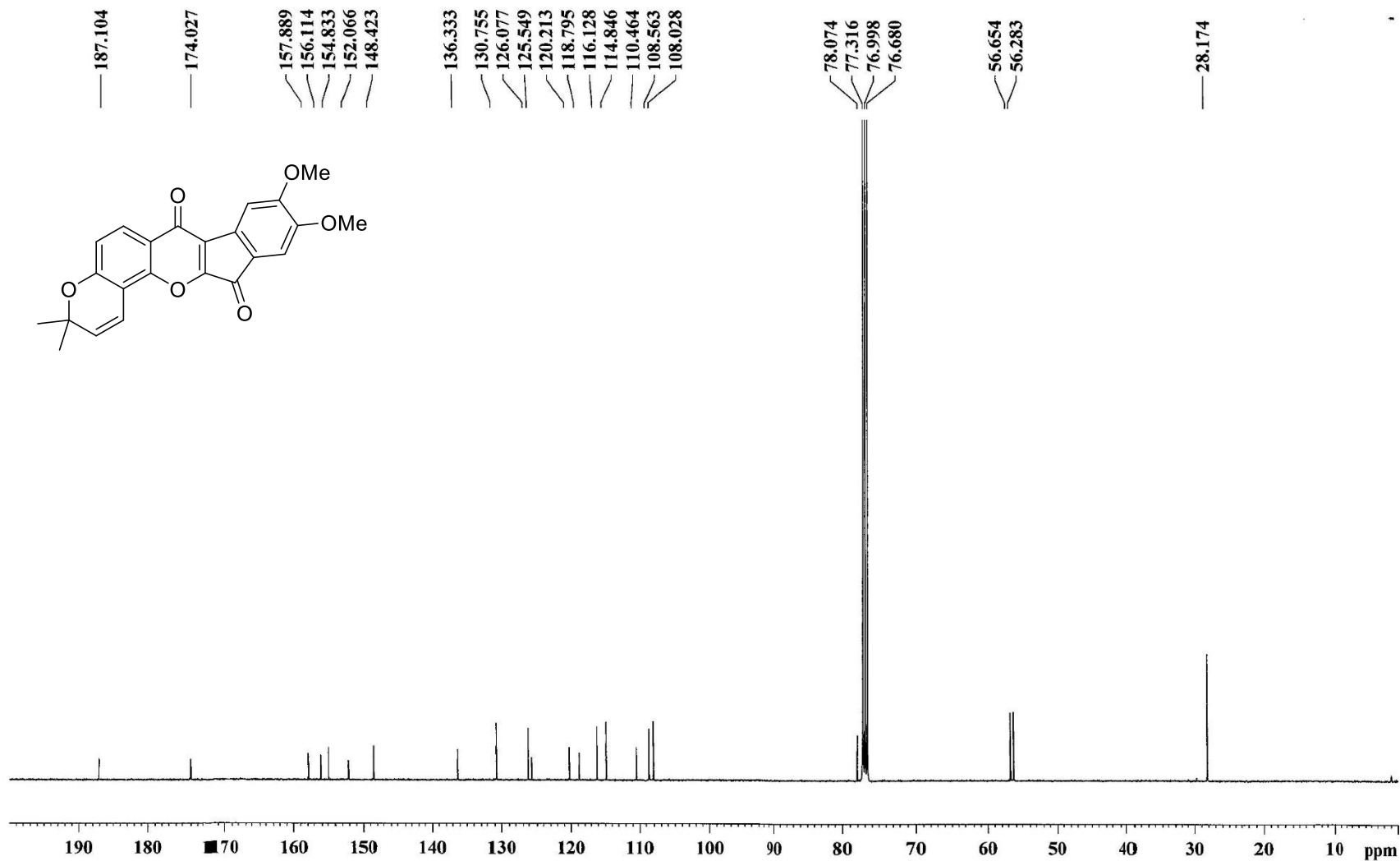
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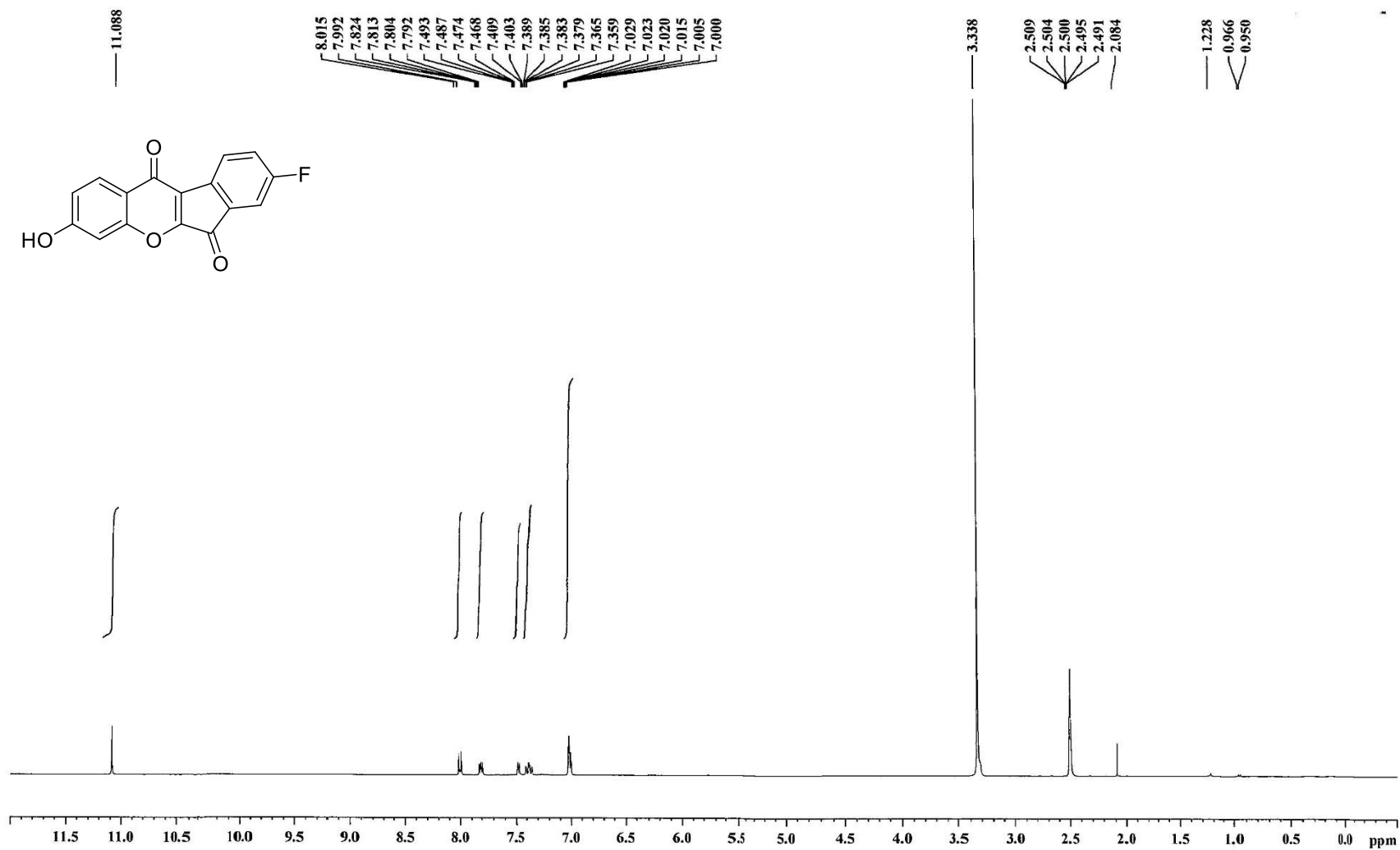
<sup>1</sup>H-NMR of compound **1n** (400 MHz, CDCl<sub>3</sub>)



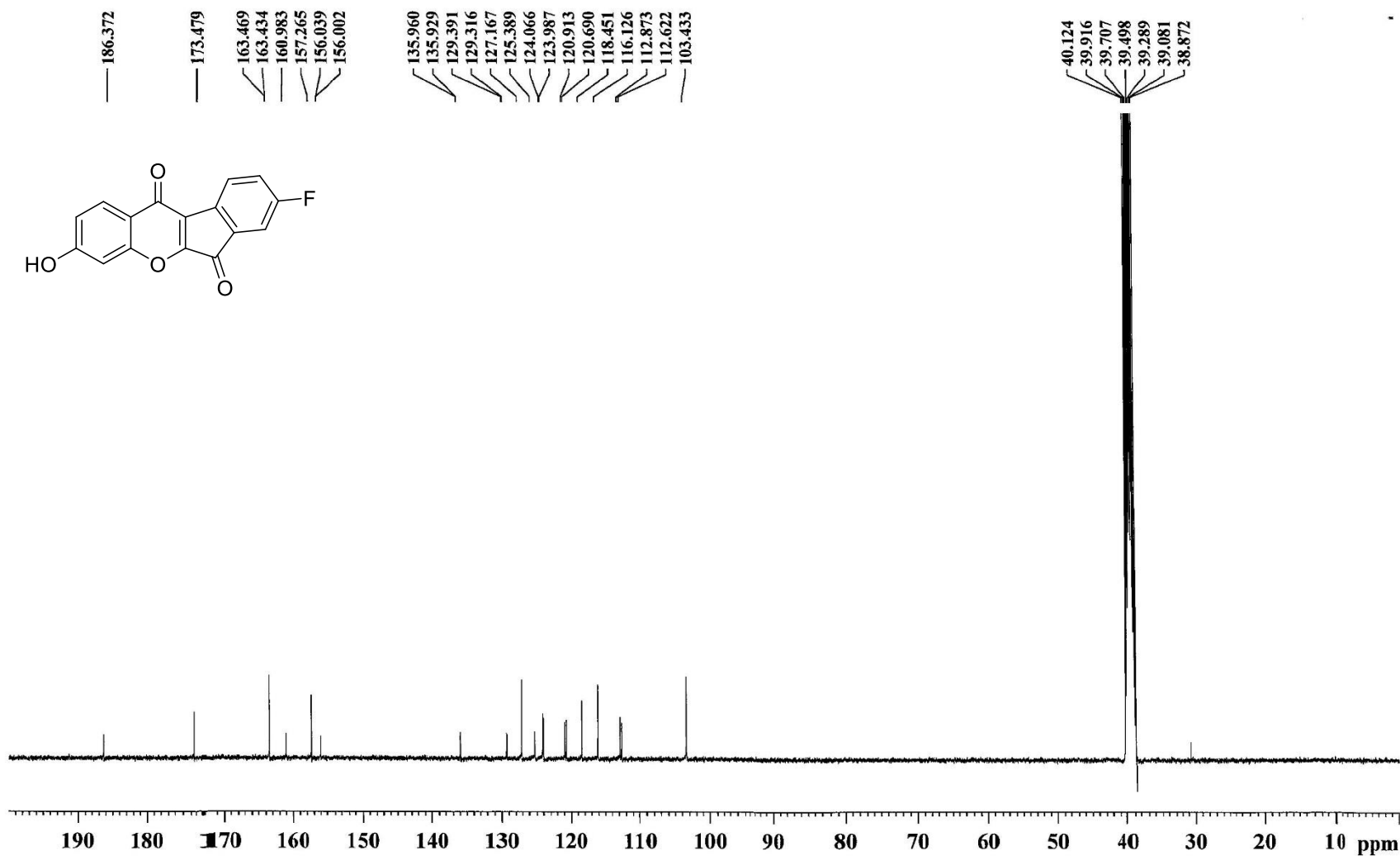
$^{13}\text{C}$ -NMR of compound **1n** (100 MHz,  $\text{CDCl}_3$ )



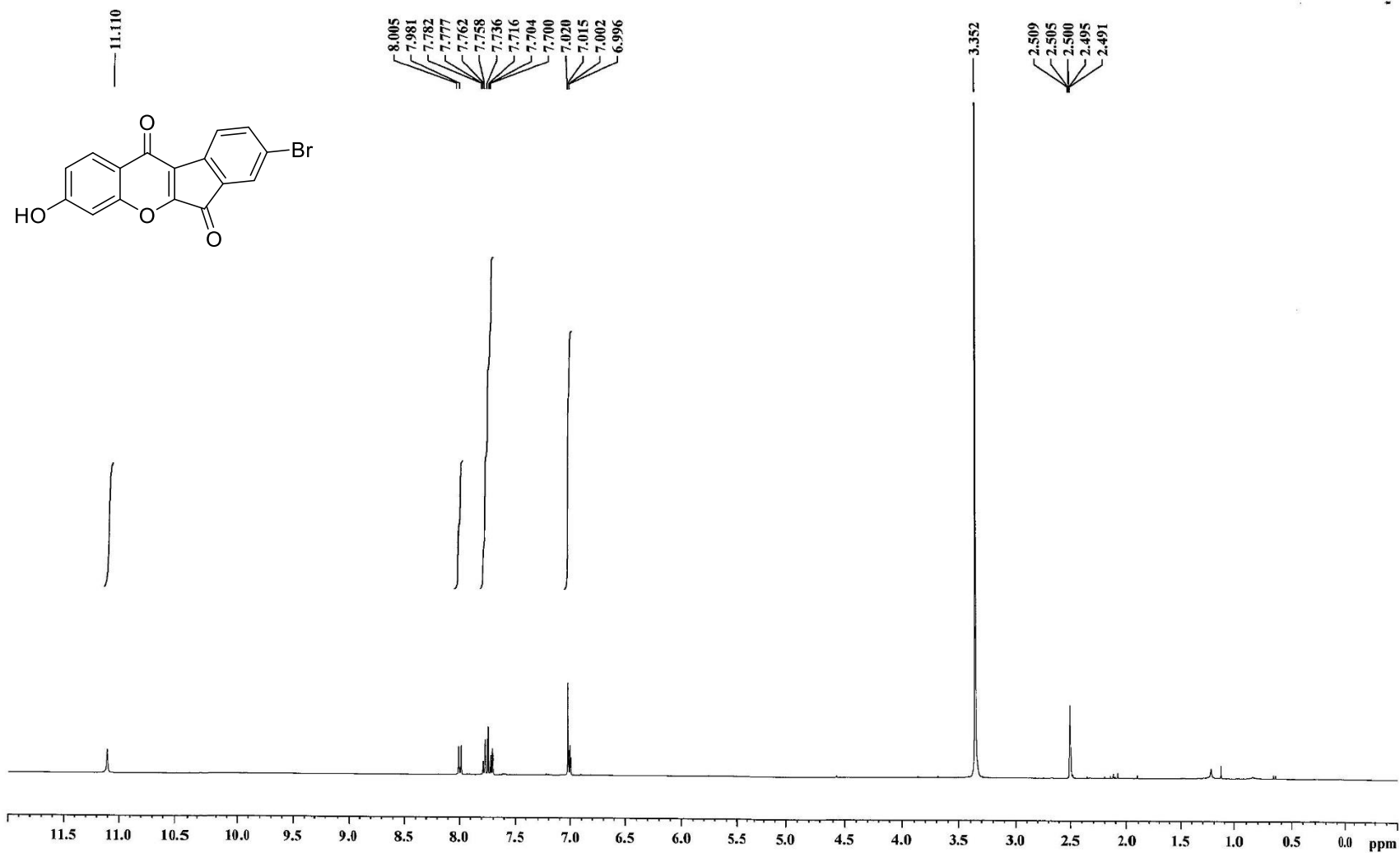
$^1\text{H-NMR}$  of compound **1o** (400 MHz,  $\text{DMSO-}d_6$ )



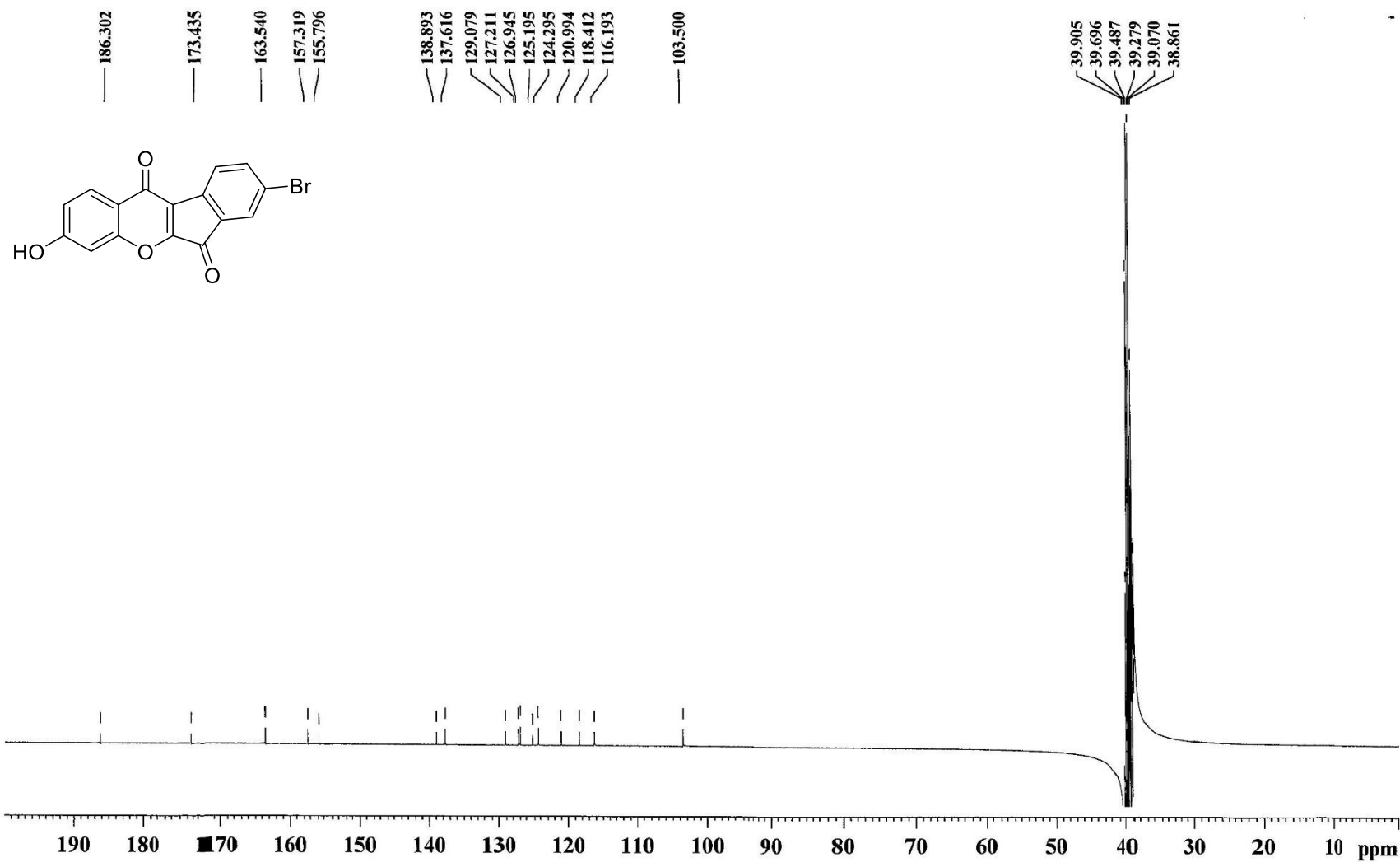
$^{13}\text{C}$ -NMR of compound **1o** (100 MHz,  $\text{DMSO-}d_6$ )



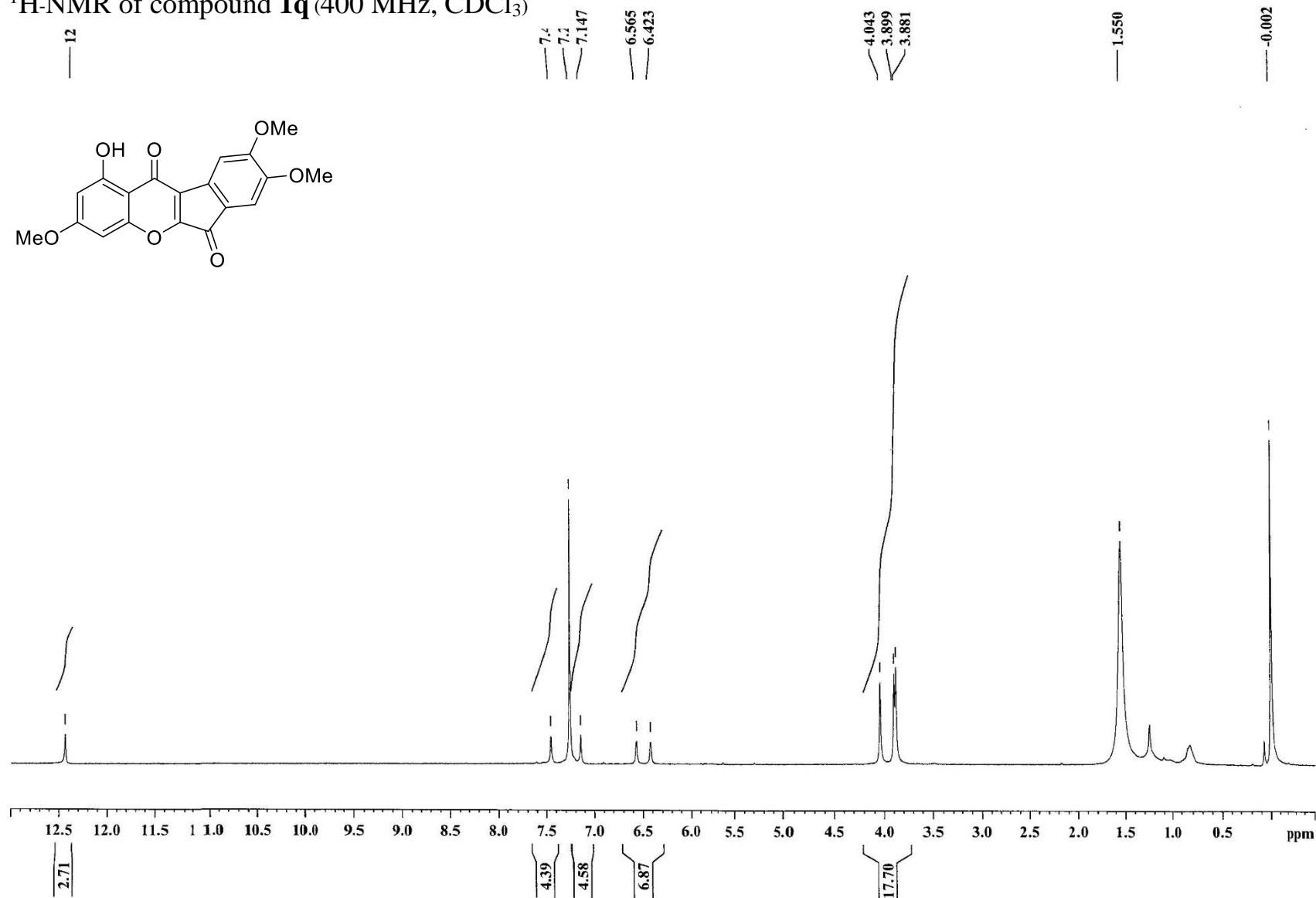
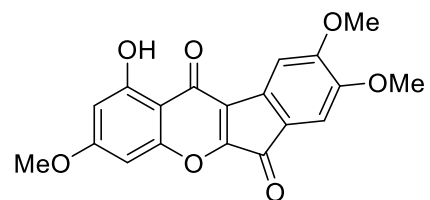
<sup>1</sup>H-NMR of compound **1p** (400 MHz, DMSO-*d*<sub>6</sub>)



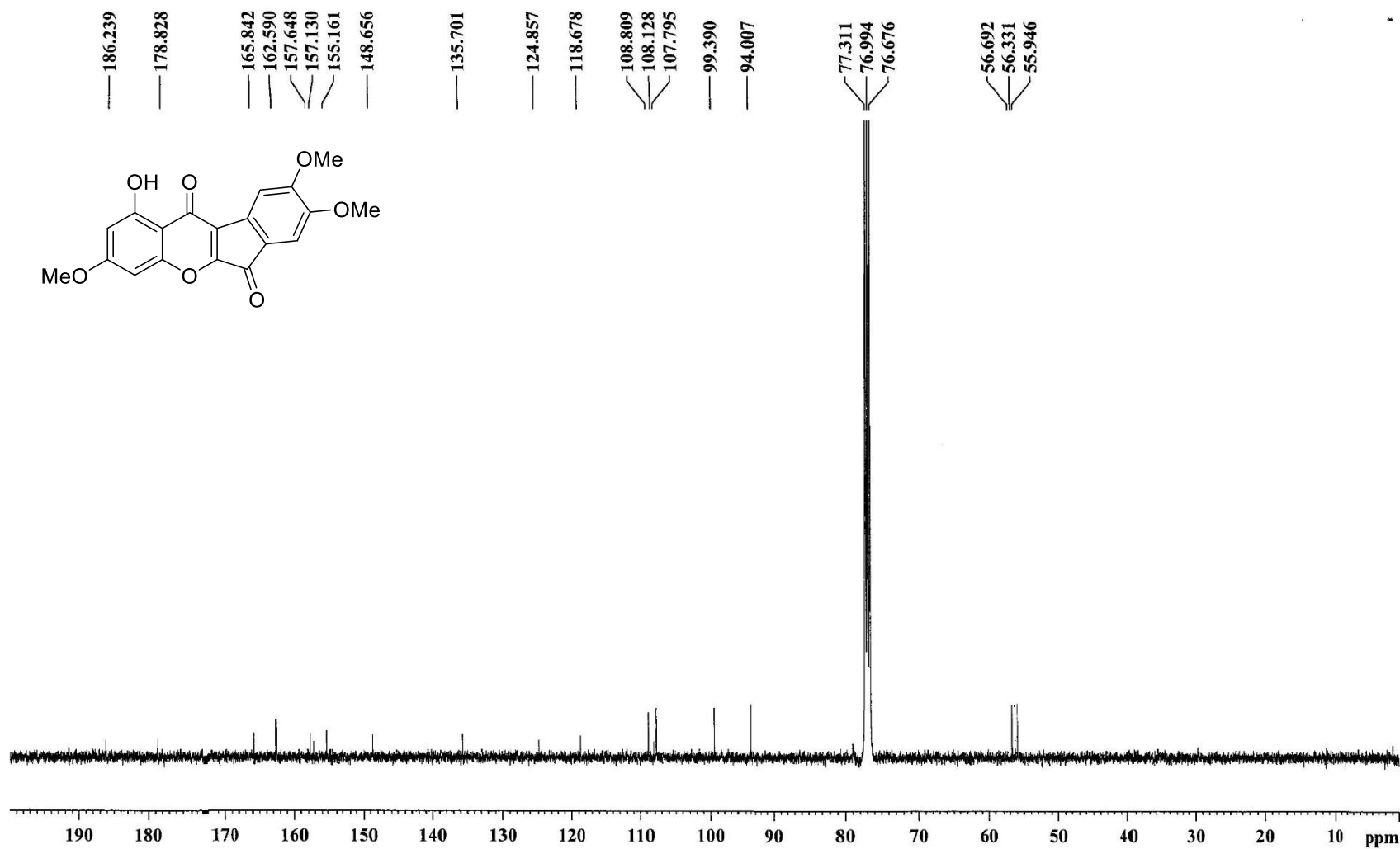
$^{13}\text{C}$ -NMR of compound **1p** (100 MHz,  $\text{DMSO-}d_6$ )



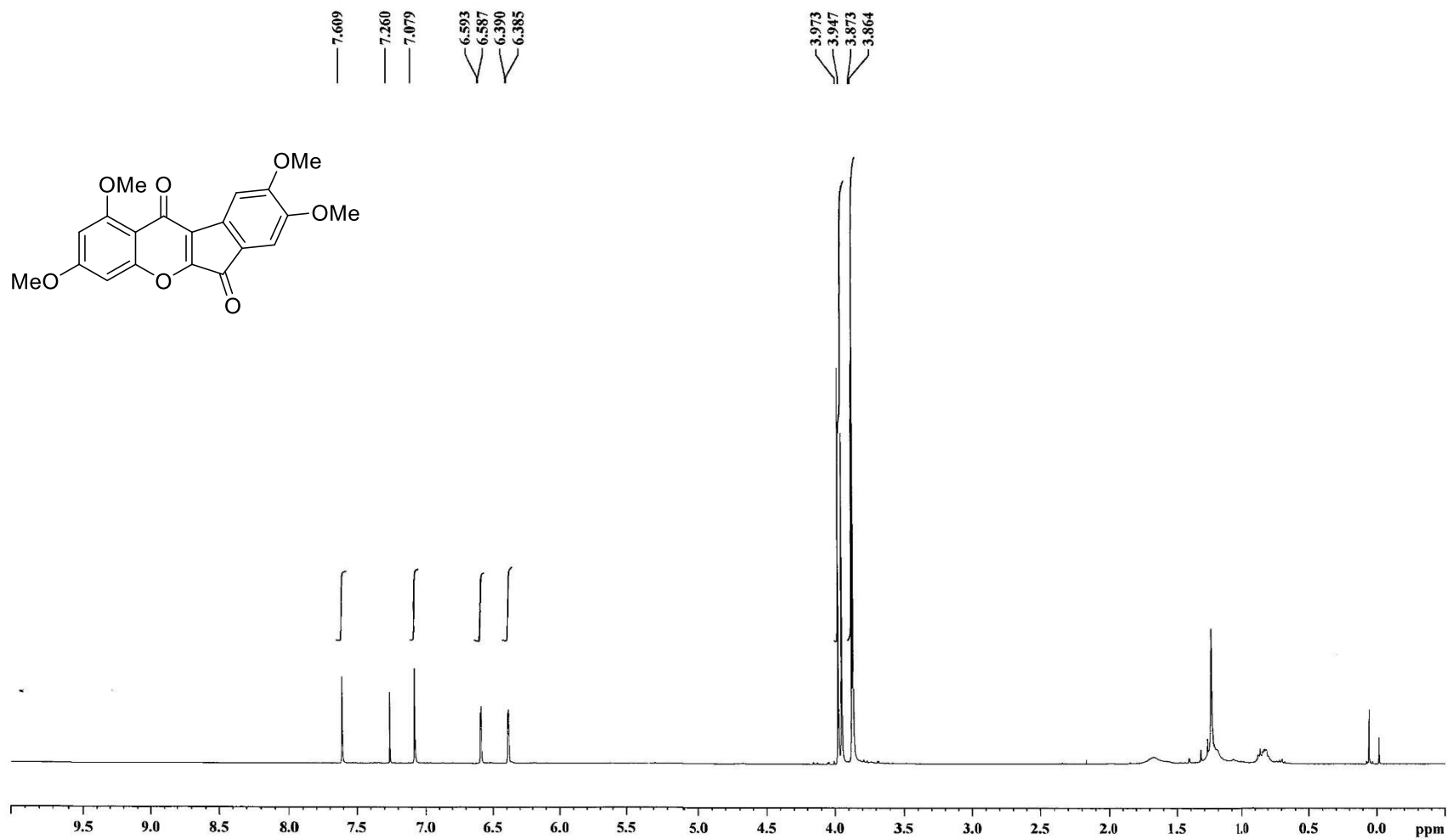
<sup>1</sup>H-NMR of compound **1q** (400 MHz, CDCl<sub>3</sub>)



$^{13}\text{C}$ -NMR of compound **1q** (100 MHz,  $\text{CDCl}_3$ )



$^1\text{H-NMR}$  of compound **1r** (400 MHz,  $\text{CDCl}_3$ )



$^{13}\text{C}$ -NMR of compound **1r** (100 MHz,  $\text{CDCl}_3$ )

