

## Design, synthesis, and structure–activity relationship studies of 6*H*-benzo[*b*]indeno[1,2-*d*]thiophen-6-one derivatives as DYRK1A/CLK1/CLK4/Haspin inhibitors

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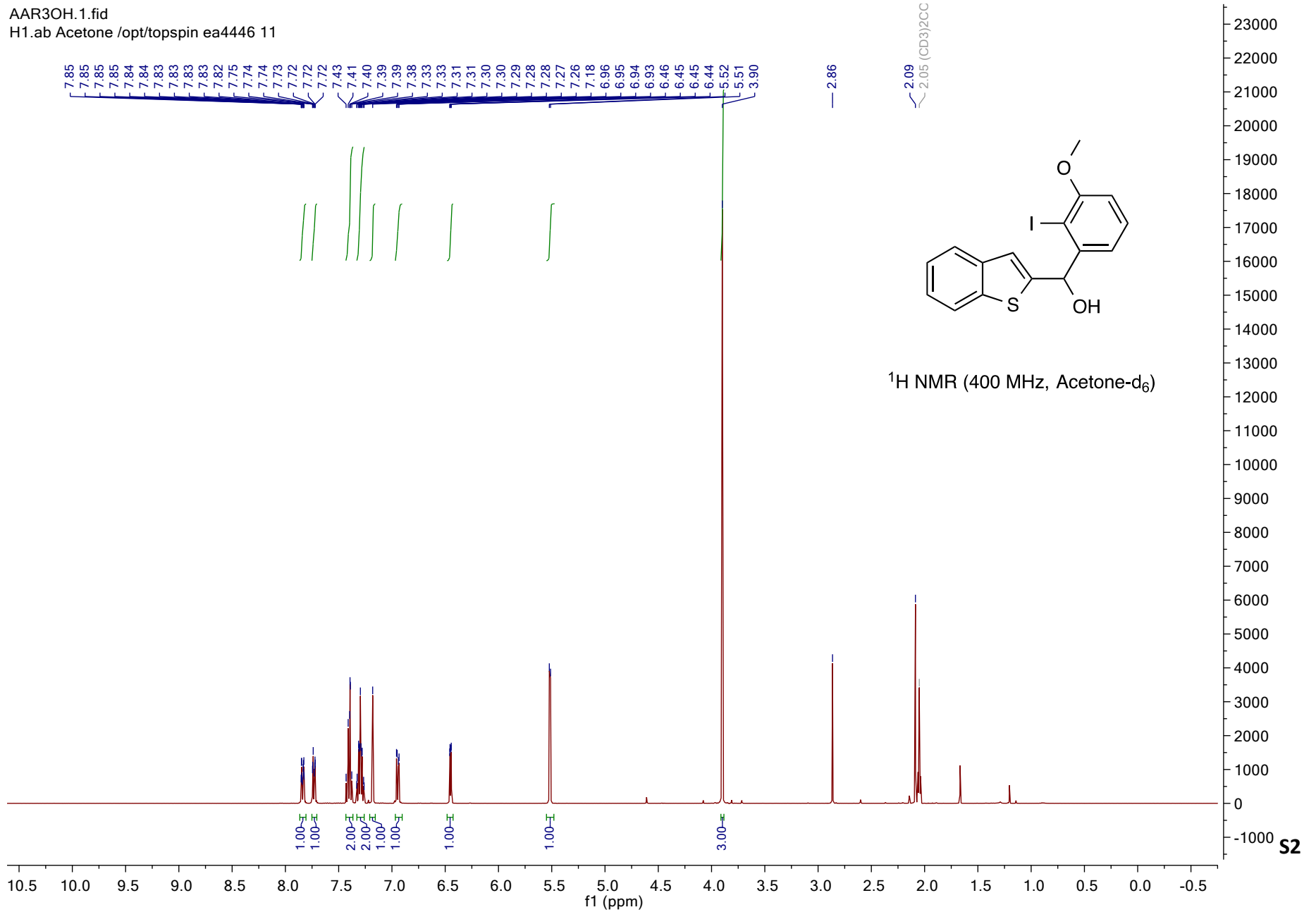
### SUPPLEMENTARY DATA

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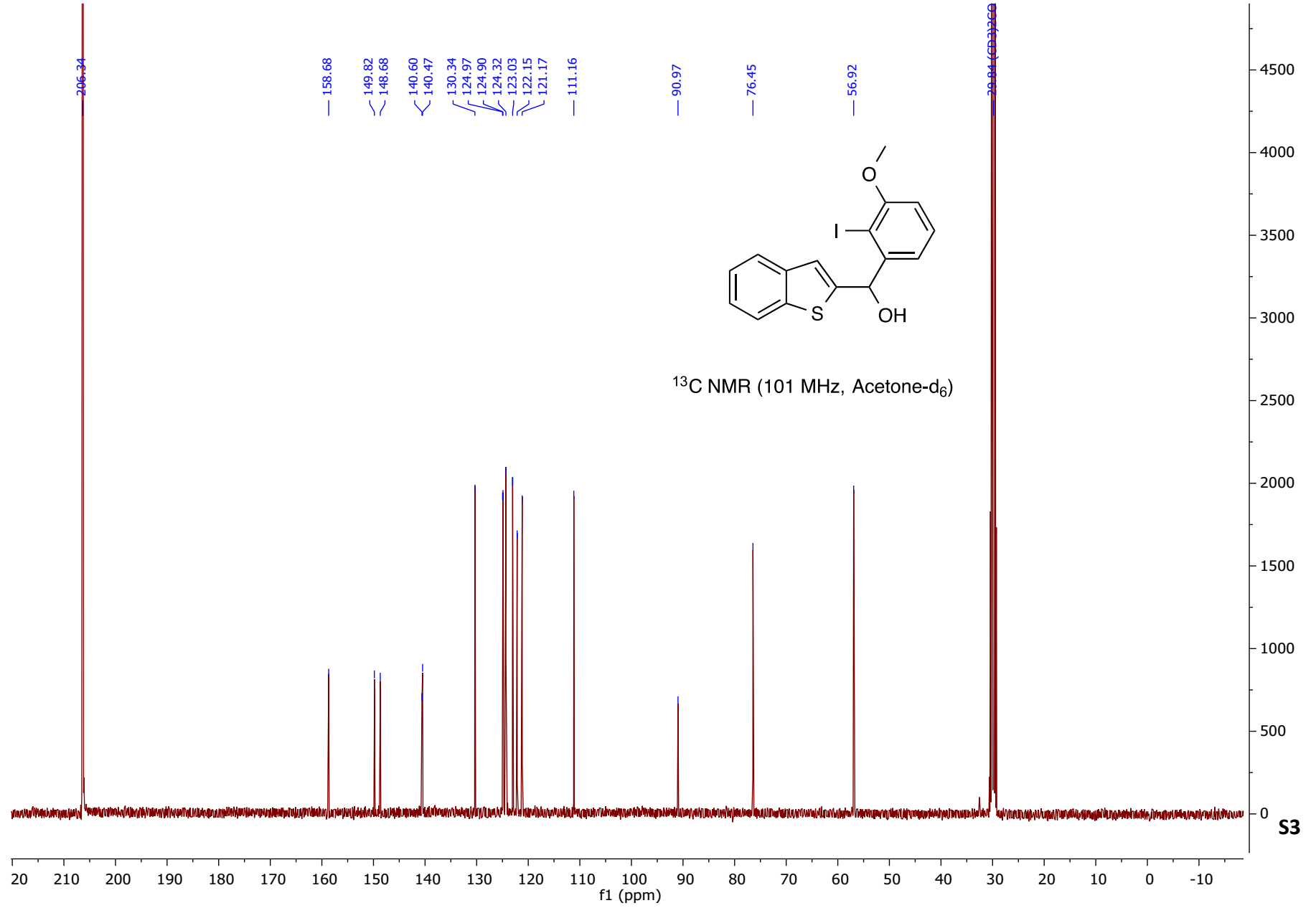
# Compound 7a

AAR3OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 11



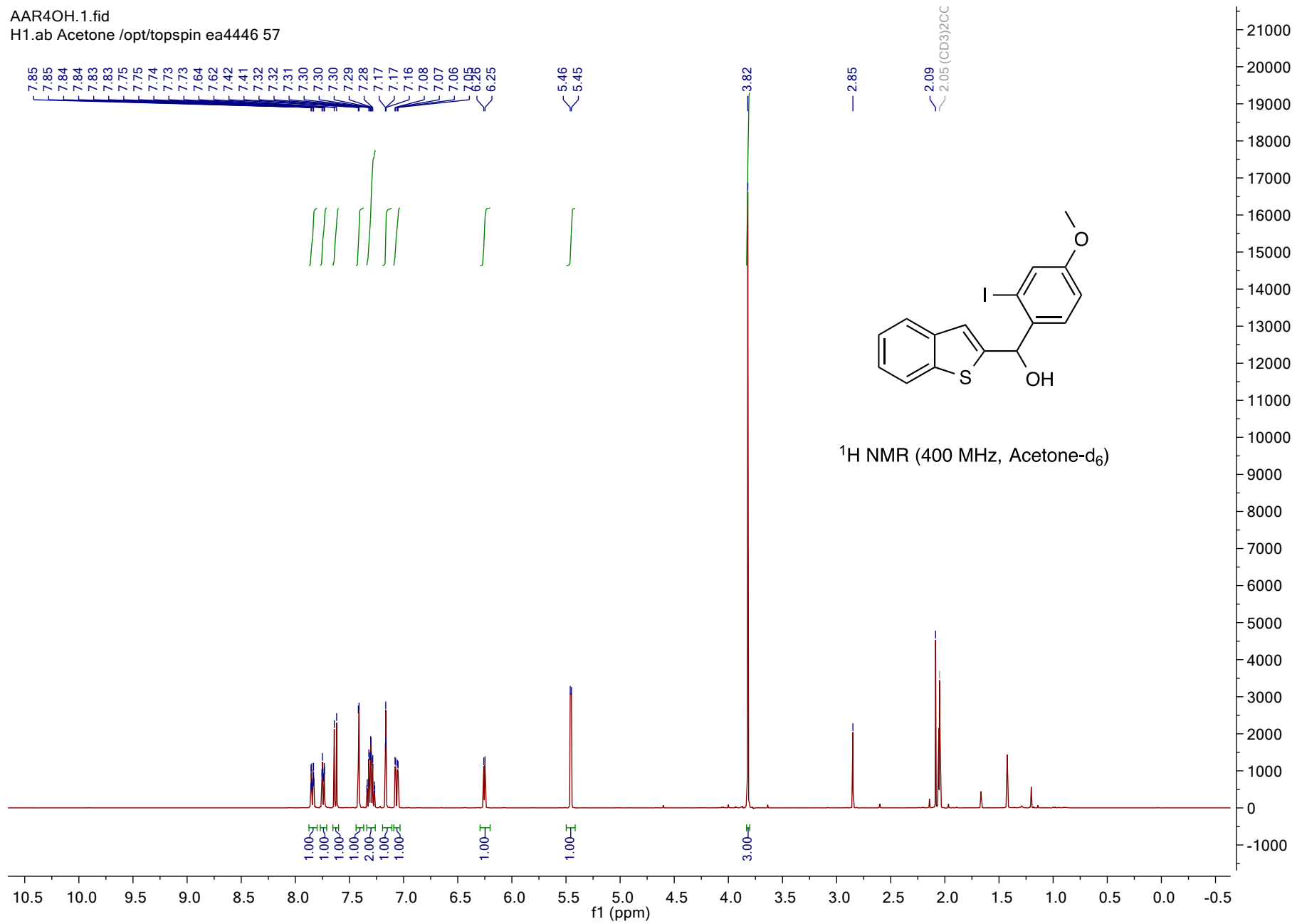
# Compound 7a

AAR3OH.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 ;



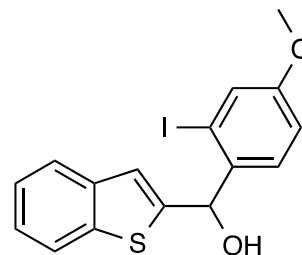
# Compound 7b

AAR4OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 57

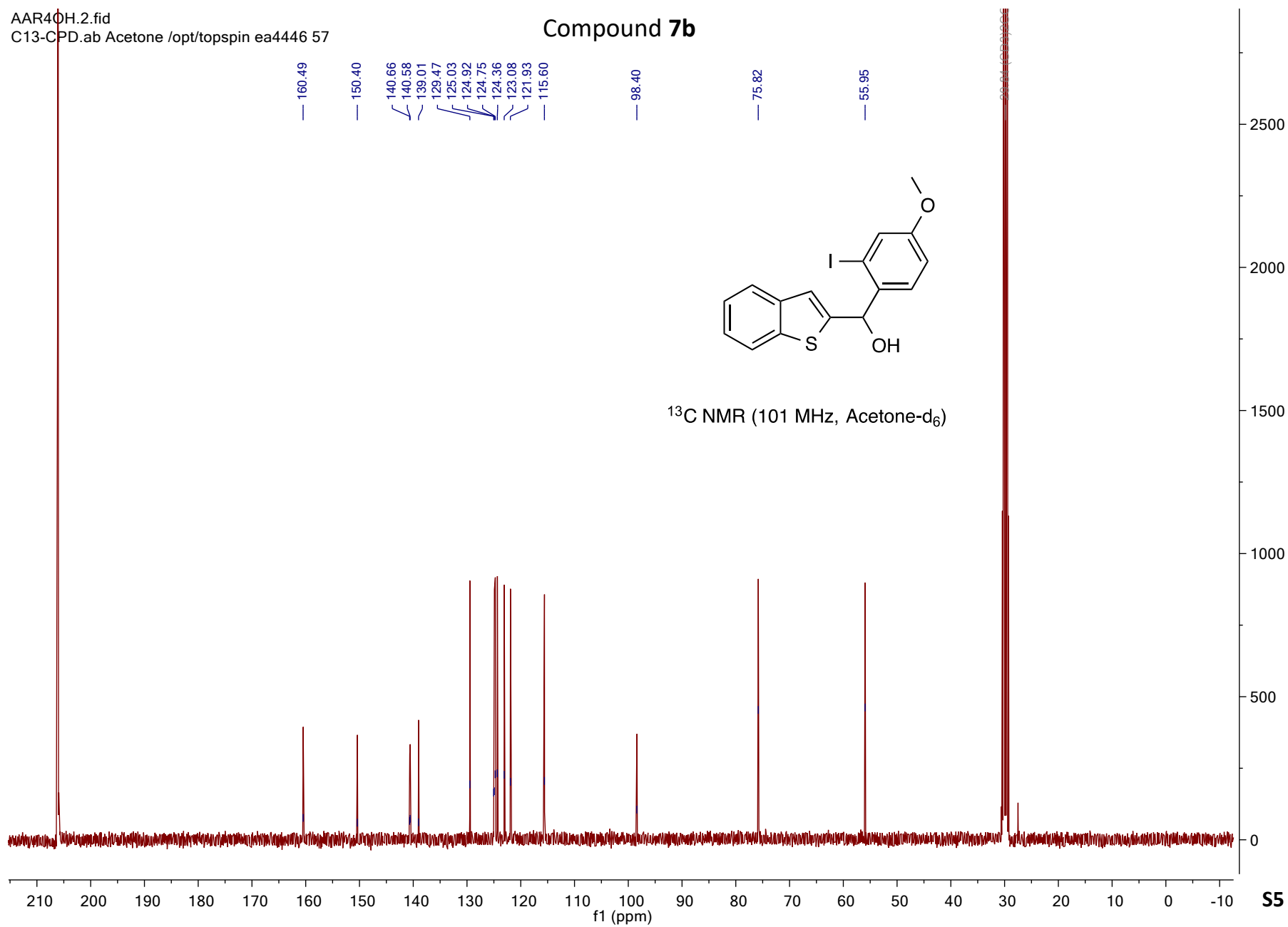


AAR40H.2.fid  
C13-CPD.ab Acetone /opt/topspin ea4446 57

### Compound 7b

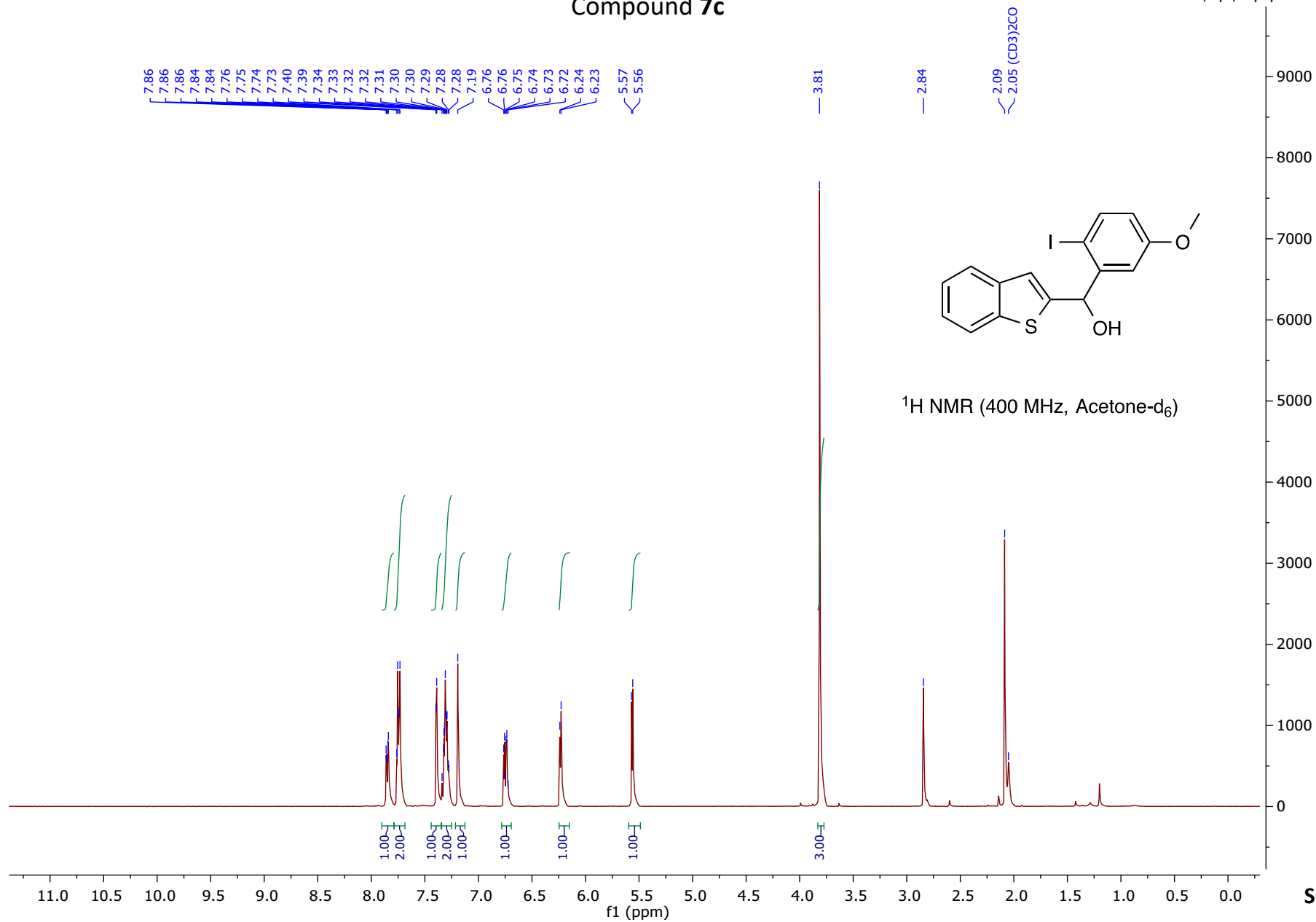


$^{13}\text{C}$  NMR (101 MHz, Acetone- $\text{d}_6$ )



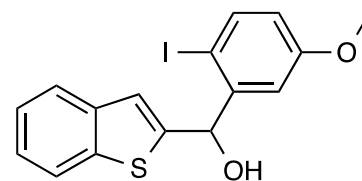
# Compound 7c

AAR5OH.1.fid — H1.ab Acetone /opt/topspin ea4446

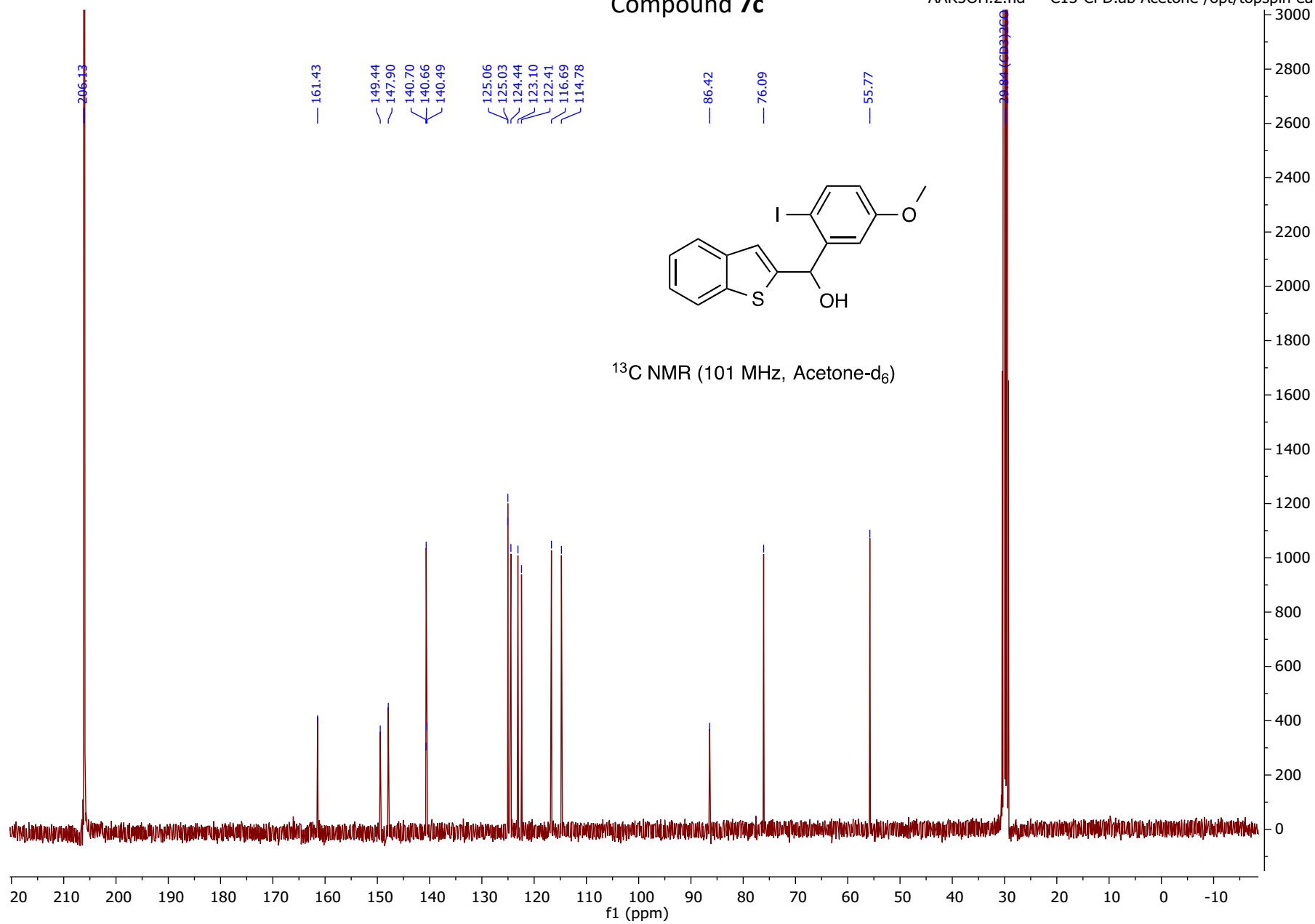


# Compound 7c

AAR5OH.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446

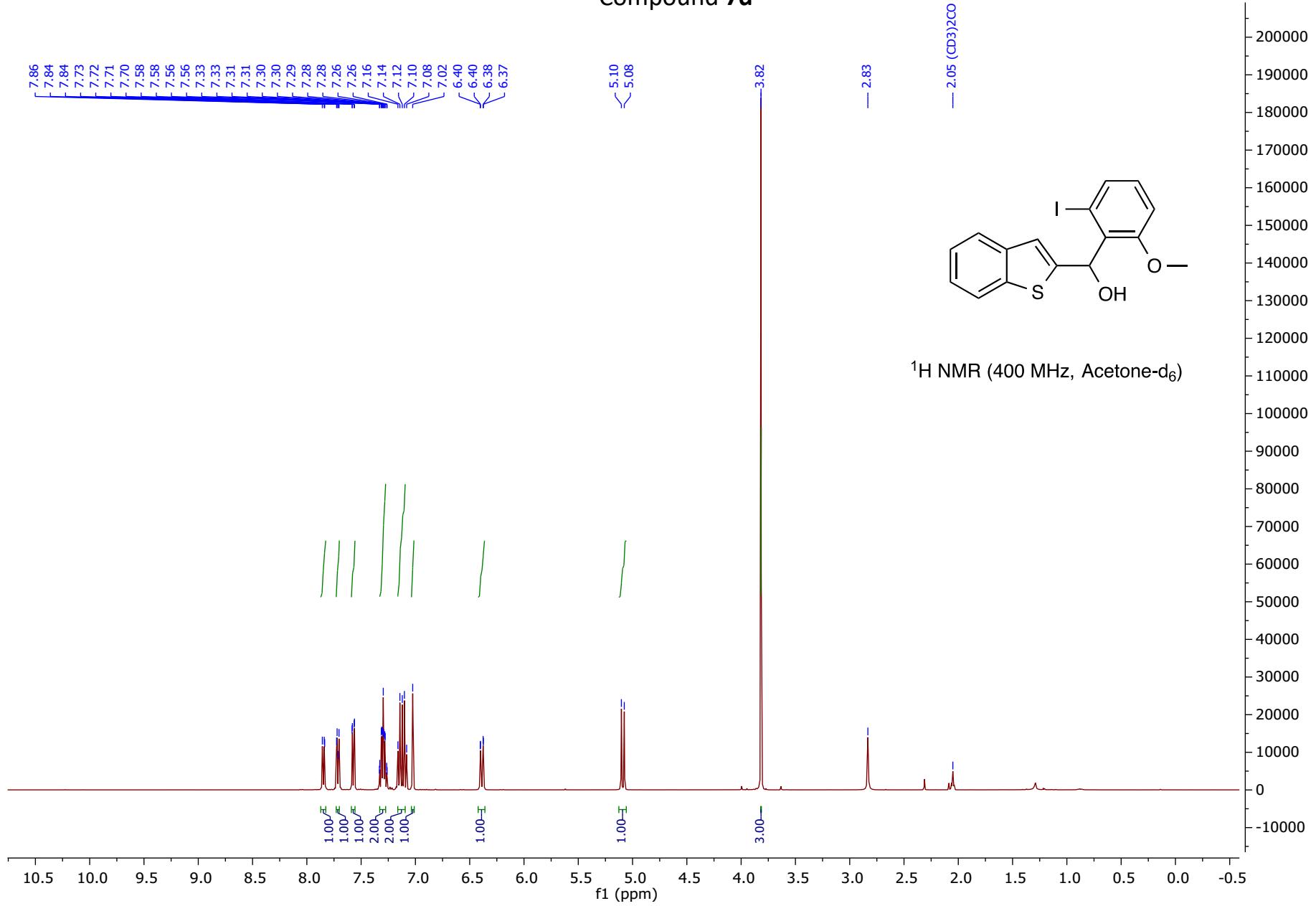


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



# Compound 7d

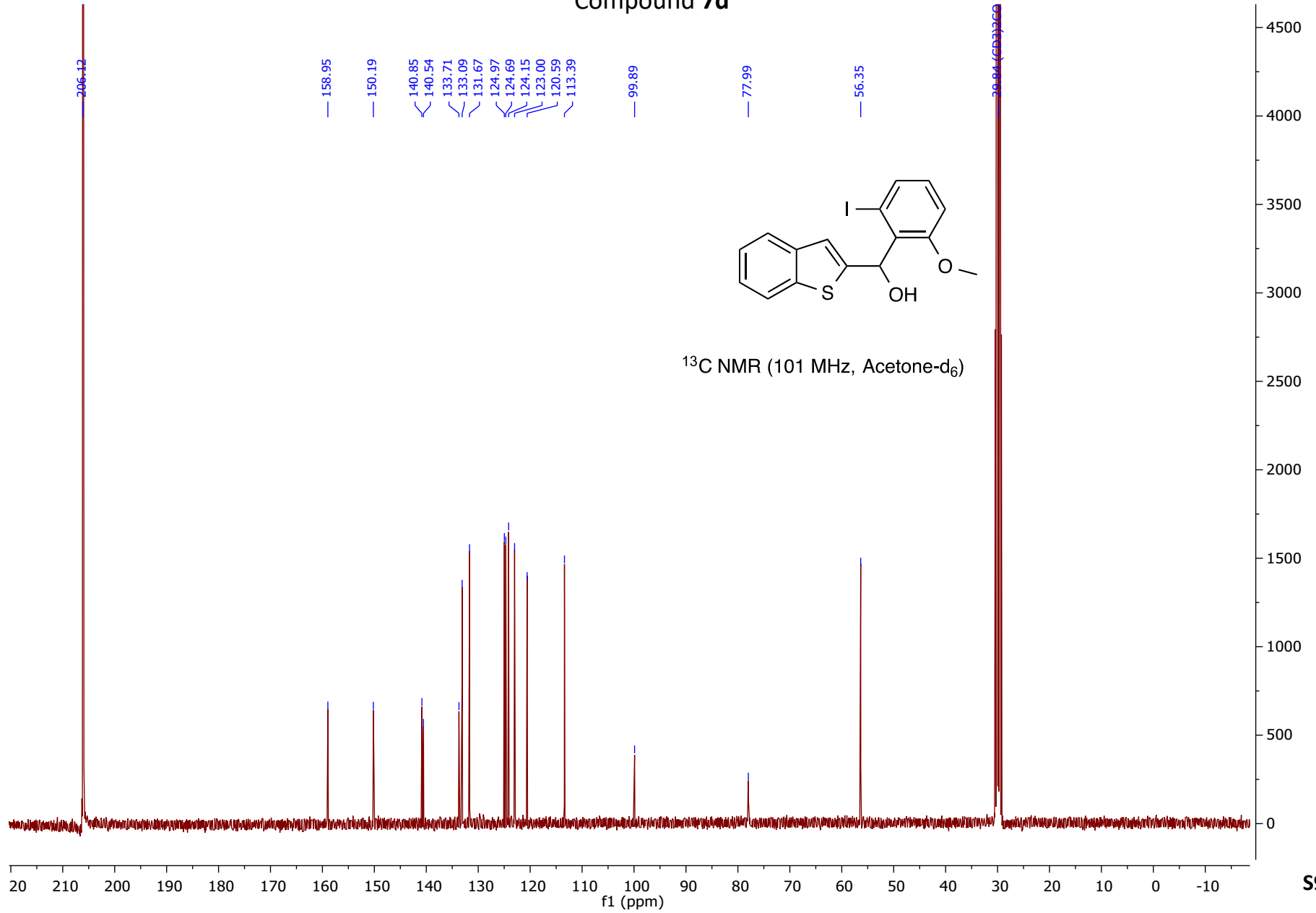
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# Compound 7d

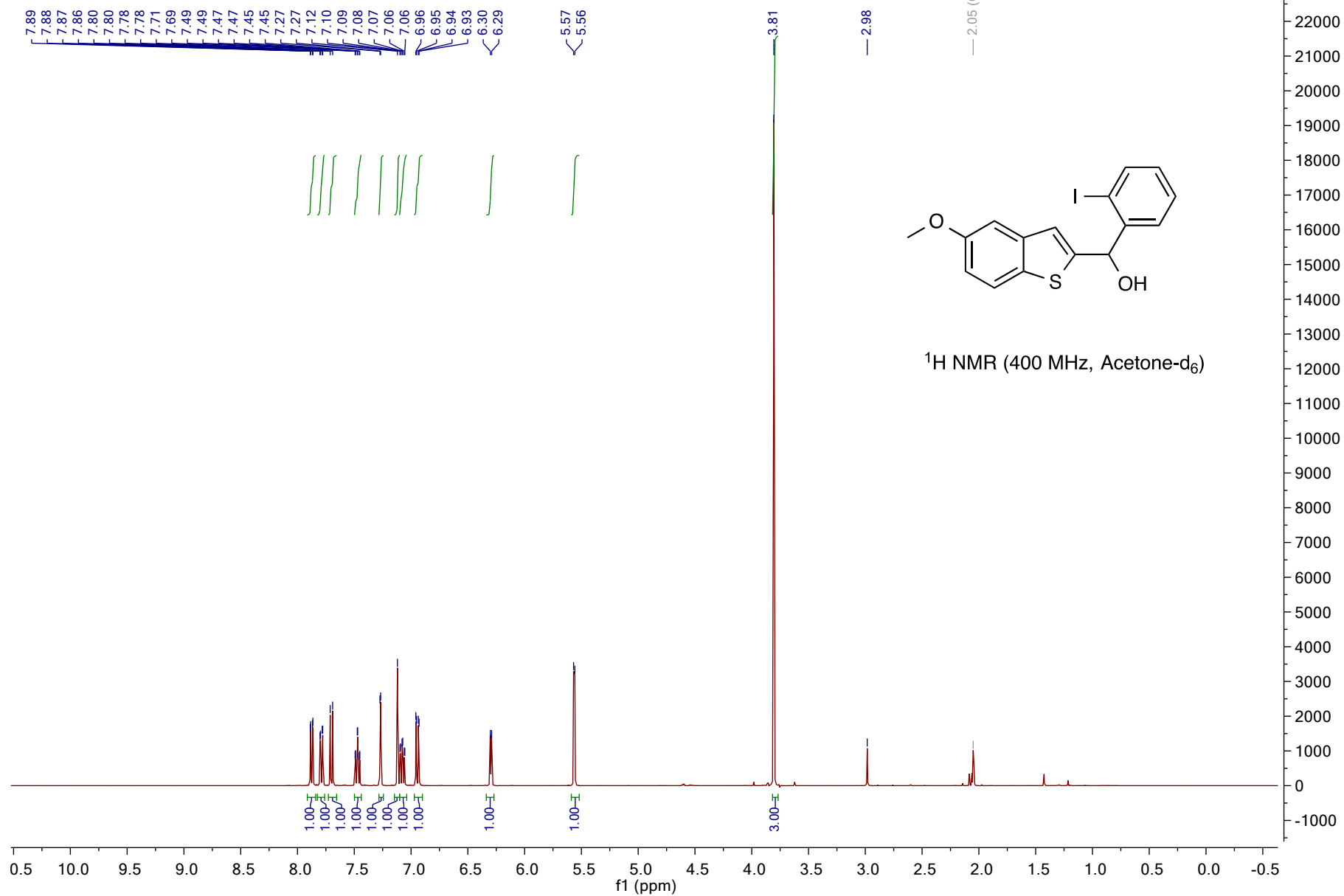
AAR6OH.101.fid — no\_title — 13C\_CPD\_1k acetone /opt/topspin ea4446



AAR7OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 53

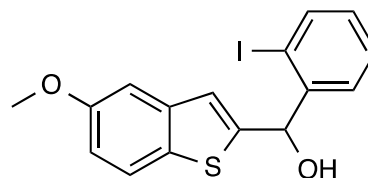
### Compound 7e

— 2.05 (CD<sub>3</sub>)<sub>2</sub>CO

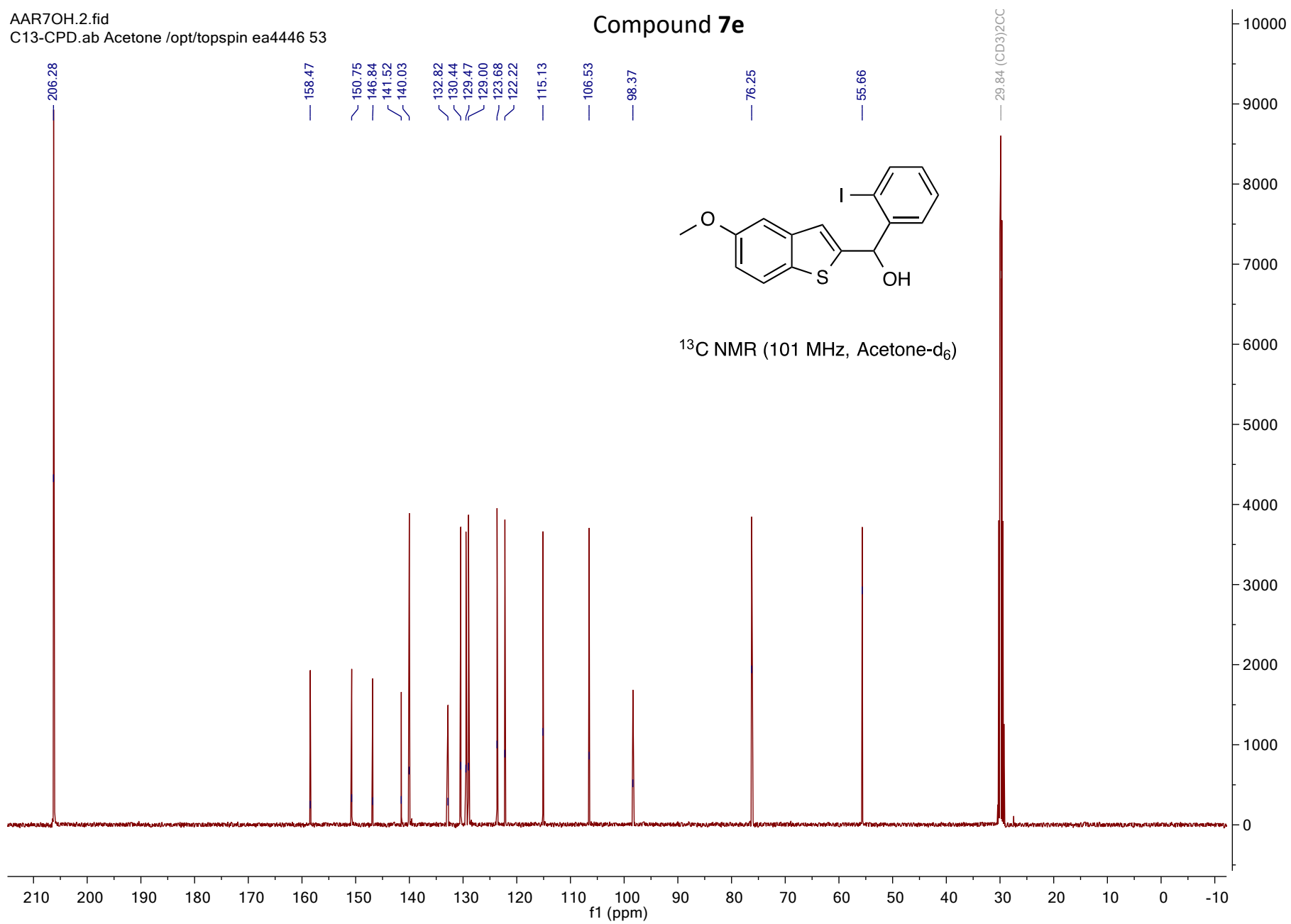


AAR7OH.2.fid  
C13-CPD.ab Acetone /opt/topspin ea4446 53

### Compound 7e

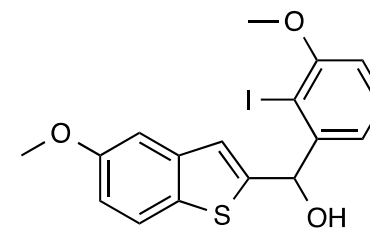


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

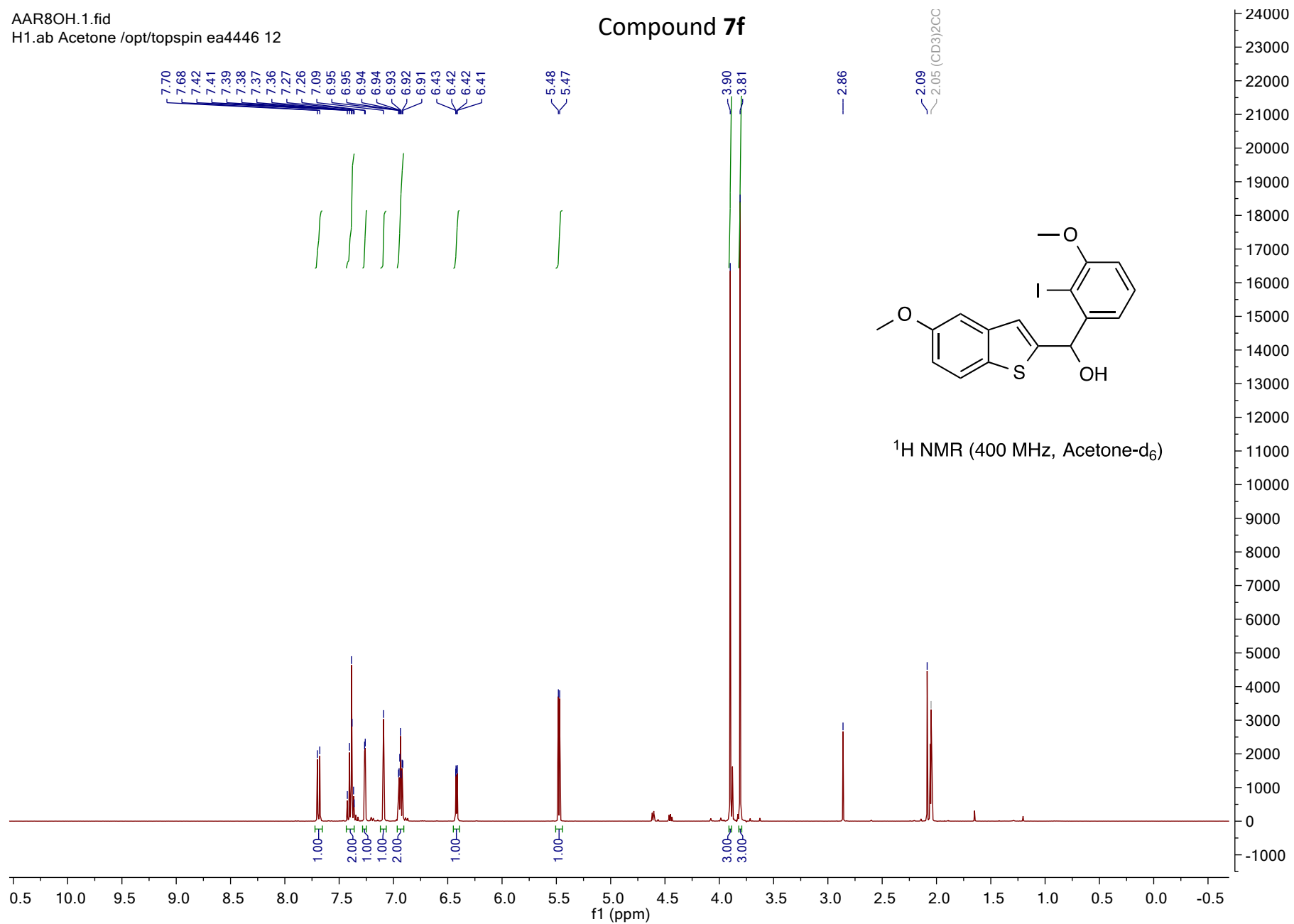


AAR8OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 12

### Compound 7f

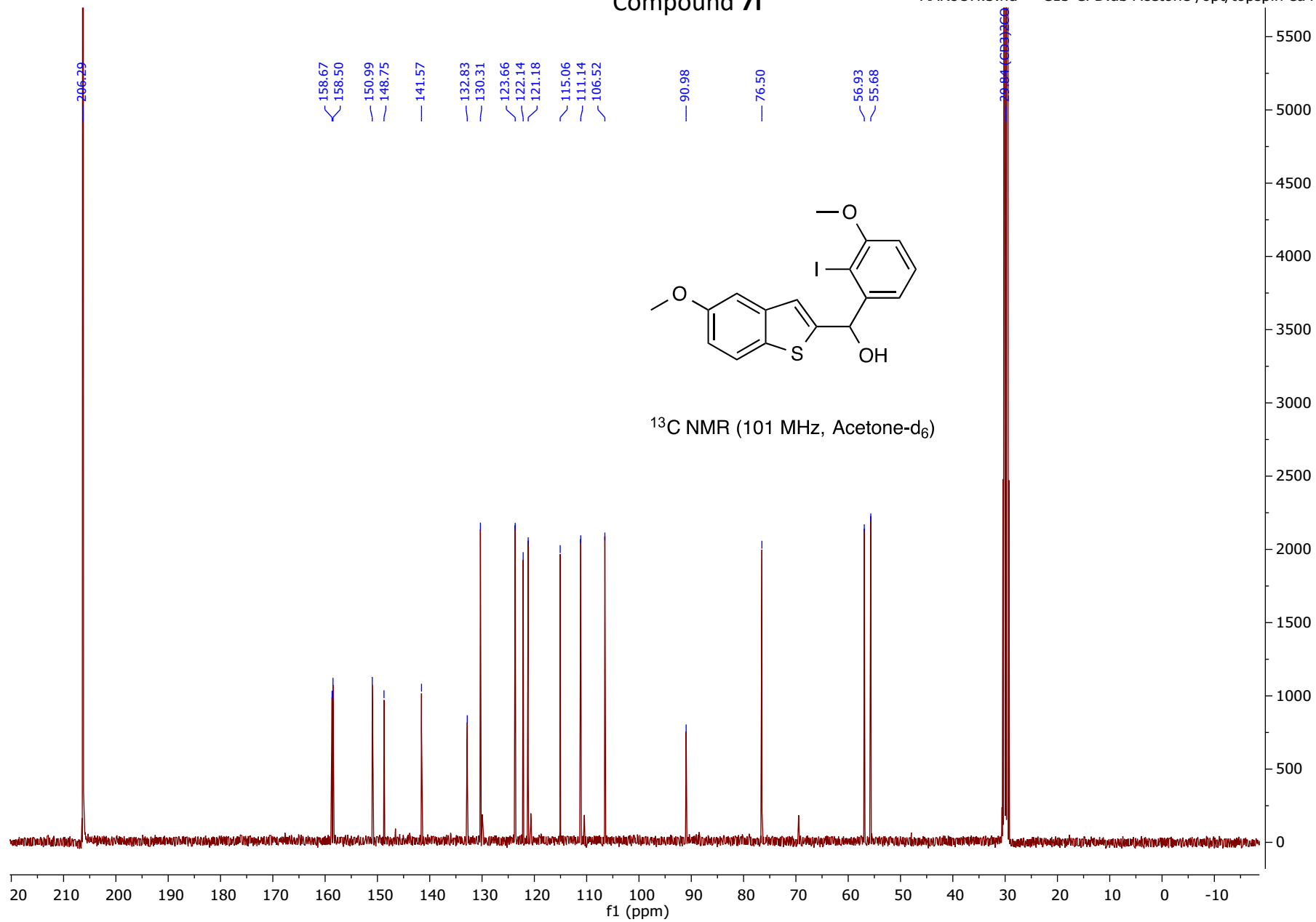


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)



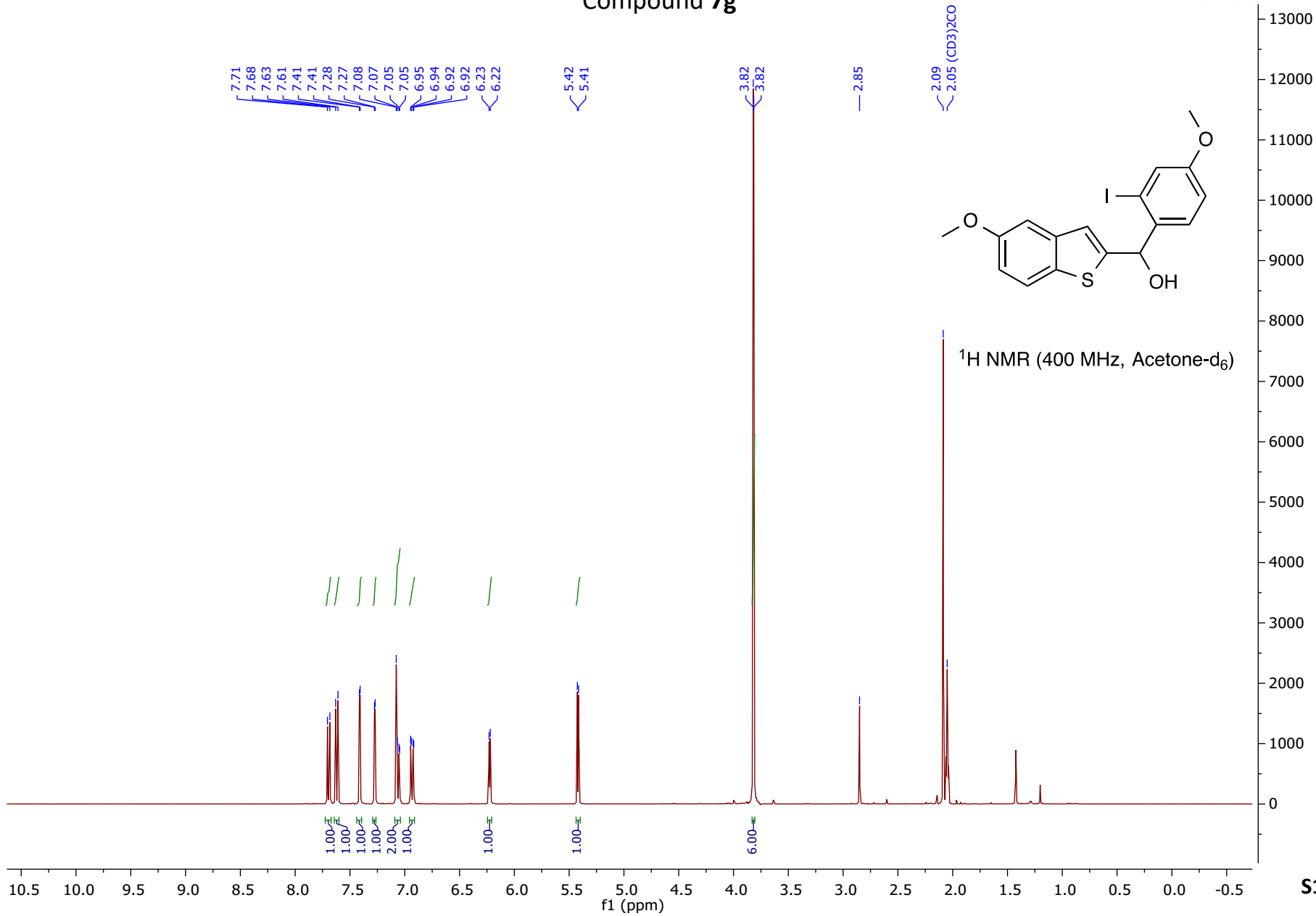
# Compound 7f

AAR8OH.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 :



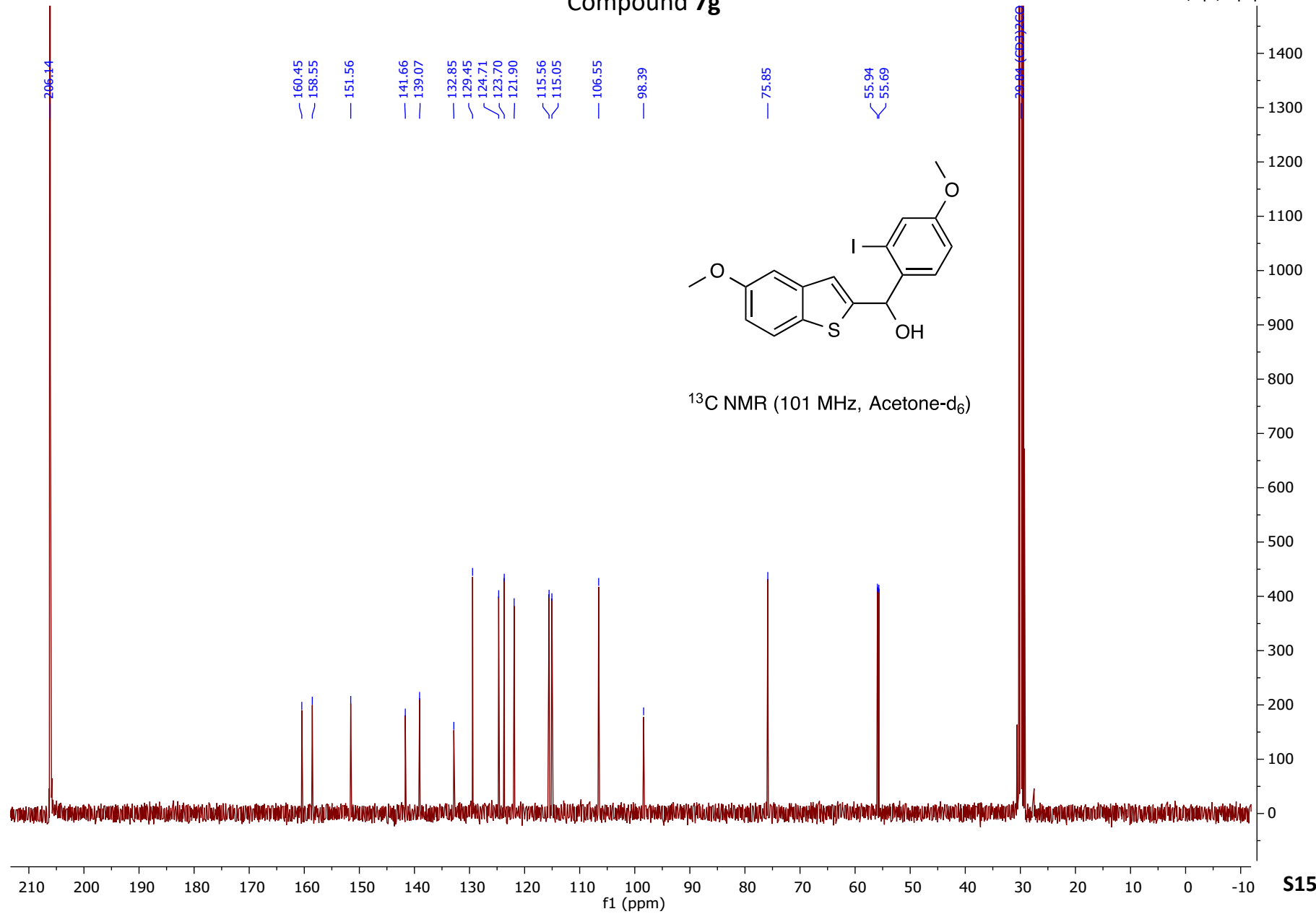
# Compound 7g

AAR9OH.1.fid — H1.ab Acetone /opt/topspin ea4446



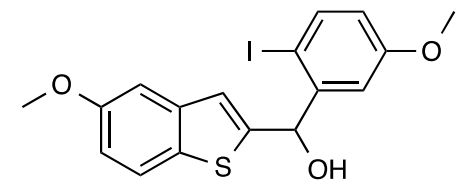
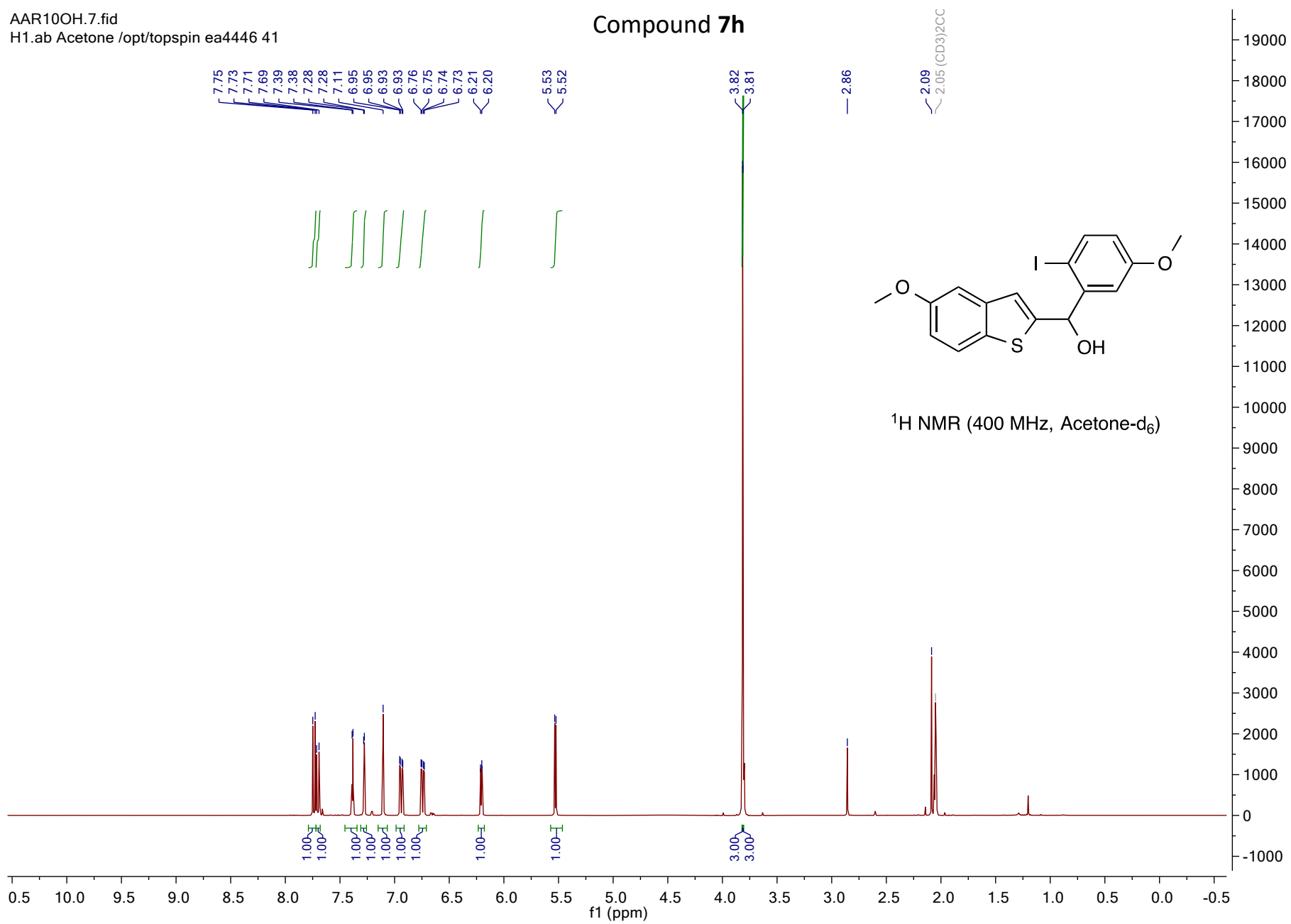
# Compound 7g

AAR9OH.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !



AAR10OH.7.fid  
H1.ab Acetone /opt/topspin ea4446 41

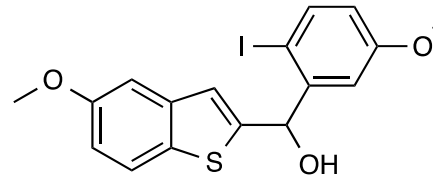
### Compound 7h



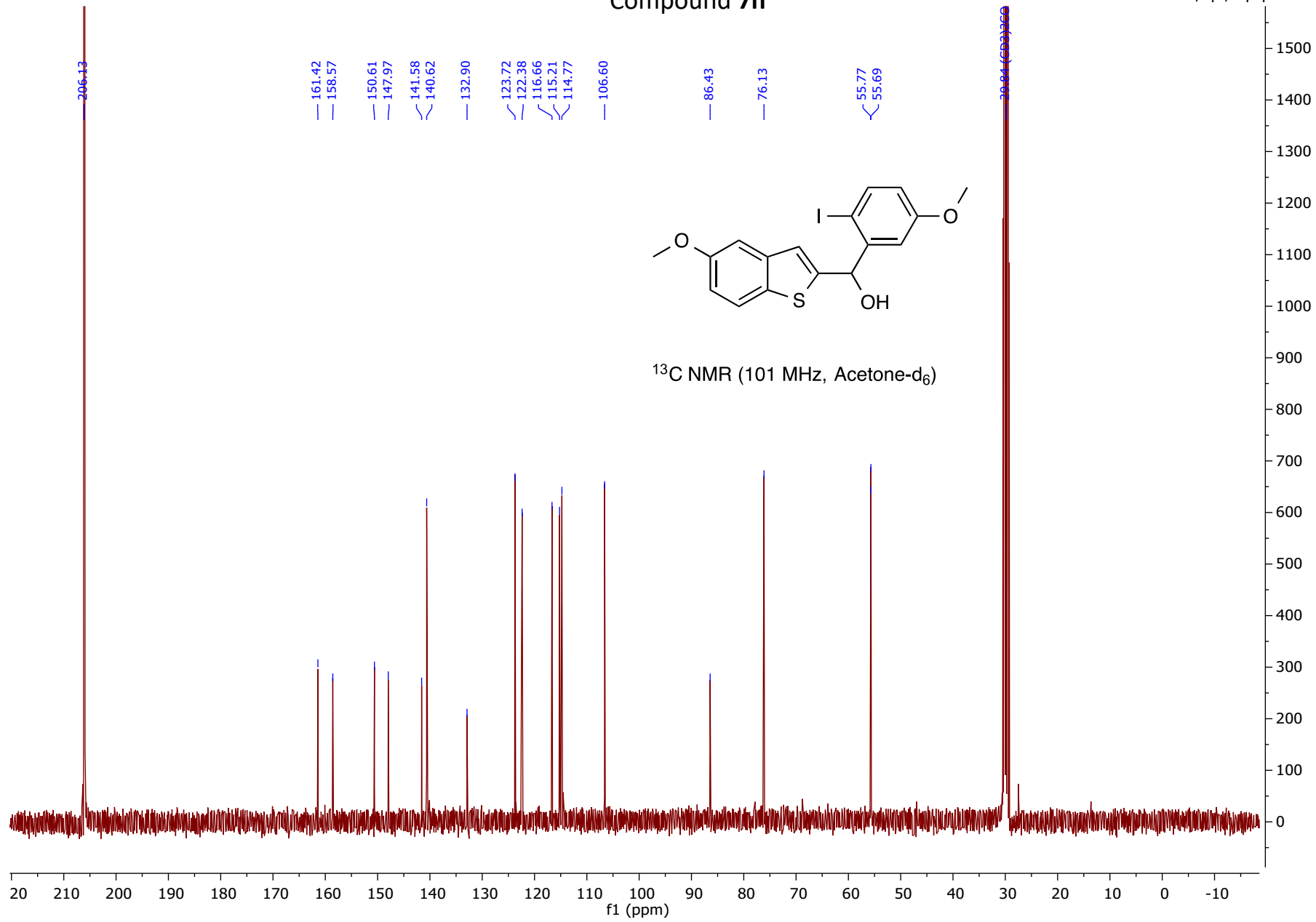


# Compound 7h

AAR100H.5.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !

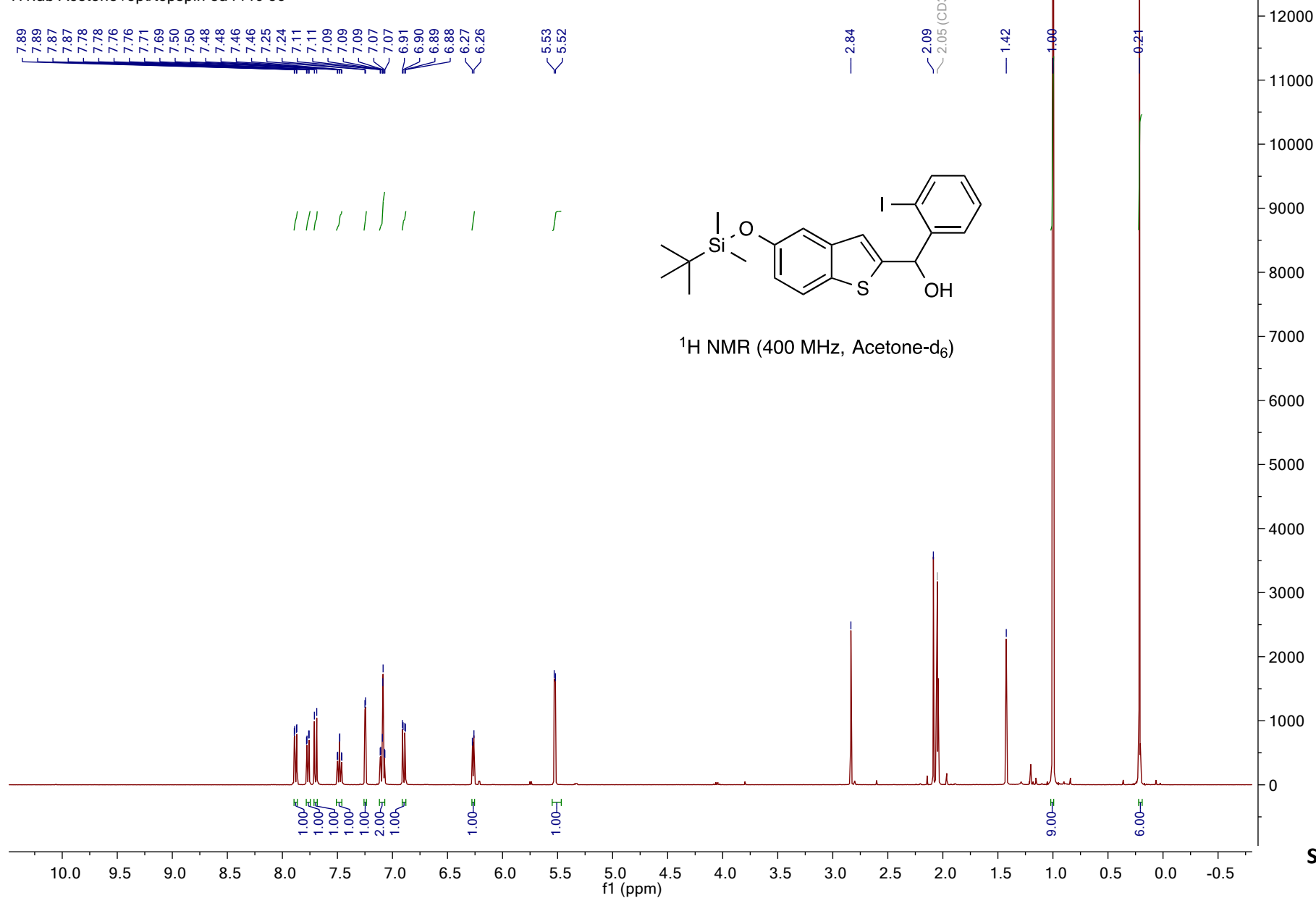


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



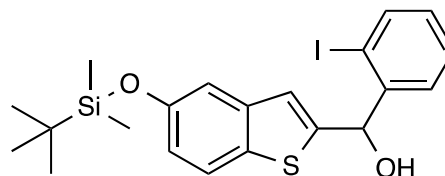
AAR11OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 59

### Compound 7i

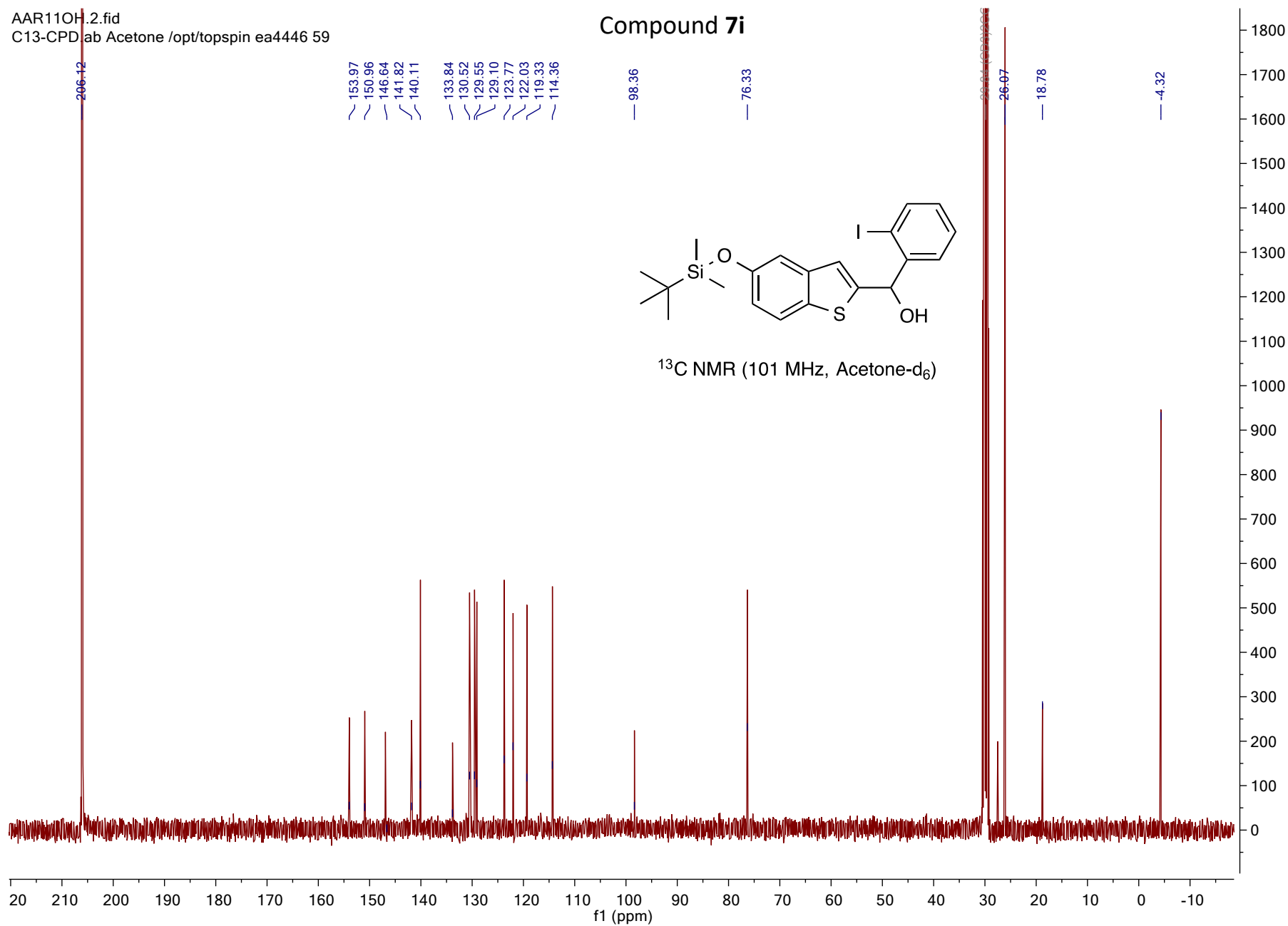


AAR110H.2.fid  
C13-CPD lab Acetone /opt/topspin ea4446 59

### Compound 7i



<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



AAR13OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 59

### Compound 7j

7.70  
7.68  
7.63  
7.61  
7.41  
7.40  
7.24  
7.24  
7.08  
7.07  
7.06  
7.05  
6.90  
6.88  
6.88  
6.22  
6.21

5.42  
5.41

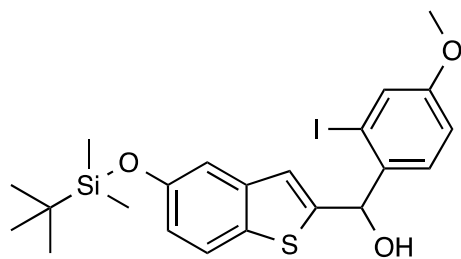
3.82

2.83

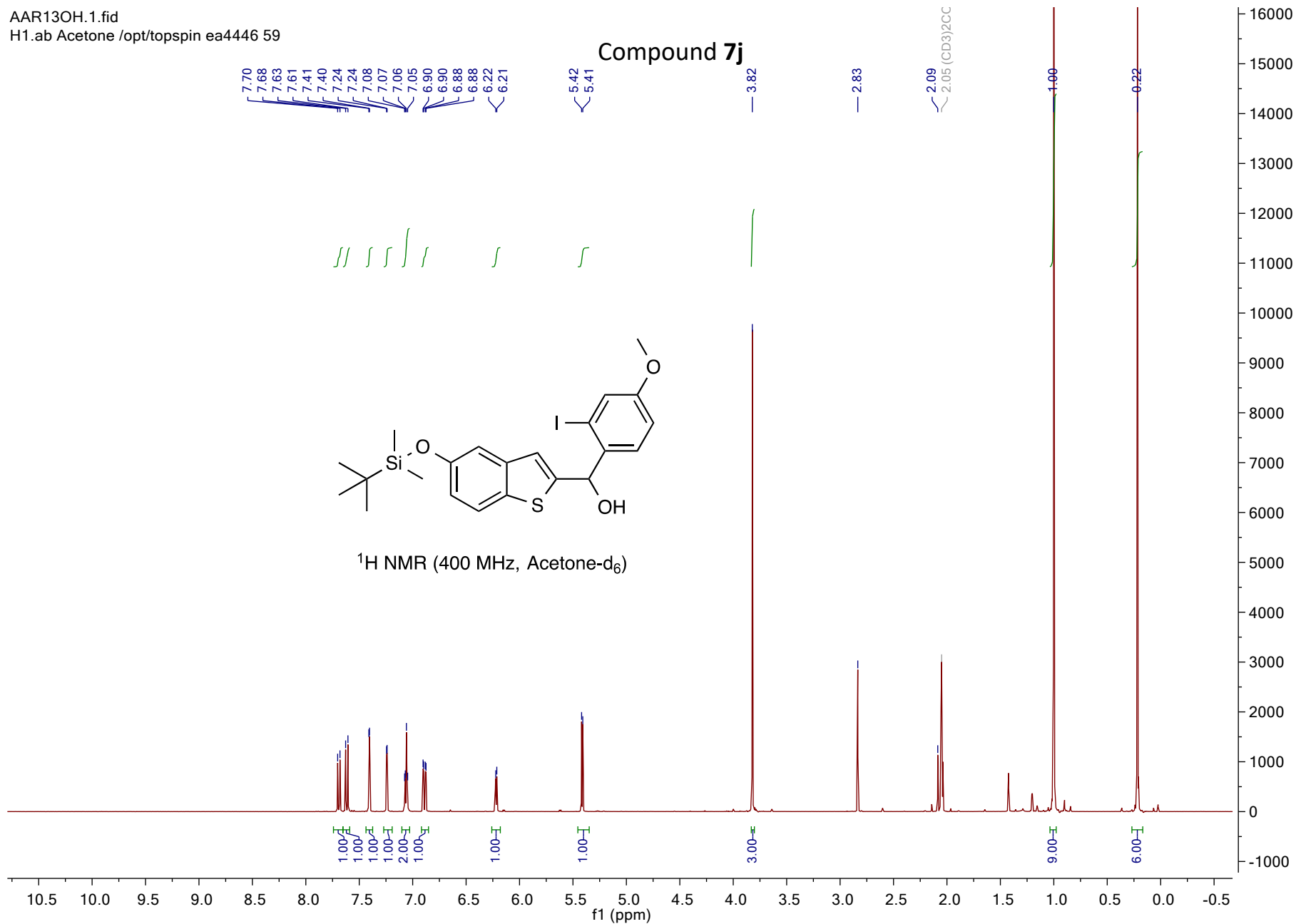
2.09  
2.05 (CD<sub>3</sub>)<sub>2</sub>CC

1.00

0.22

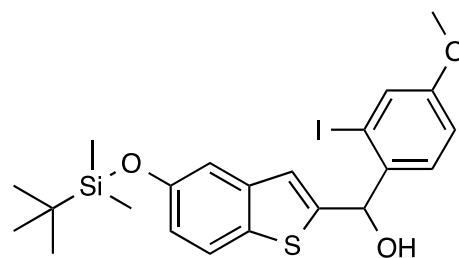


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

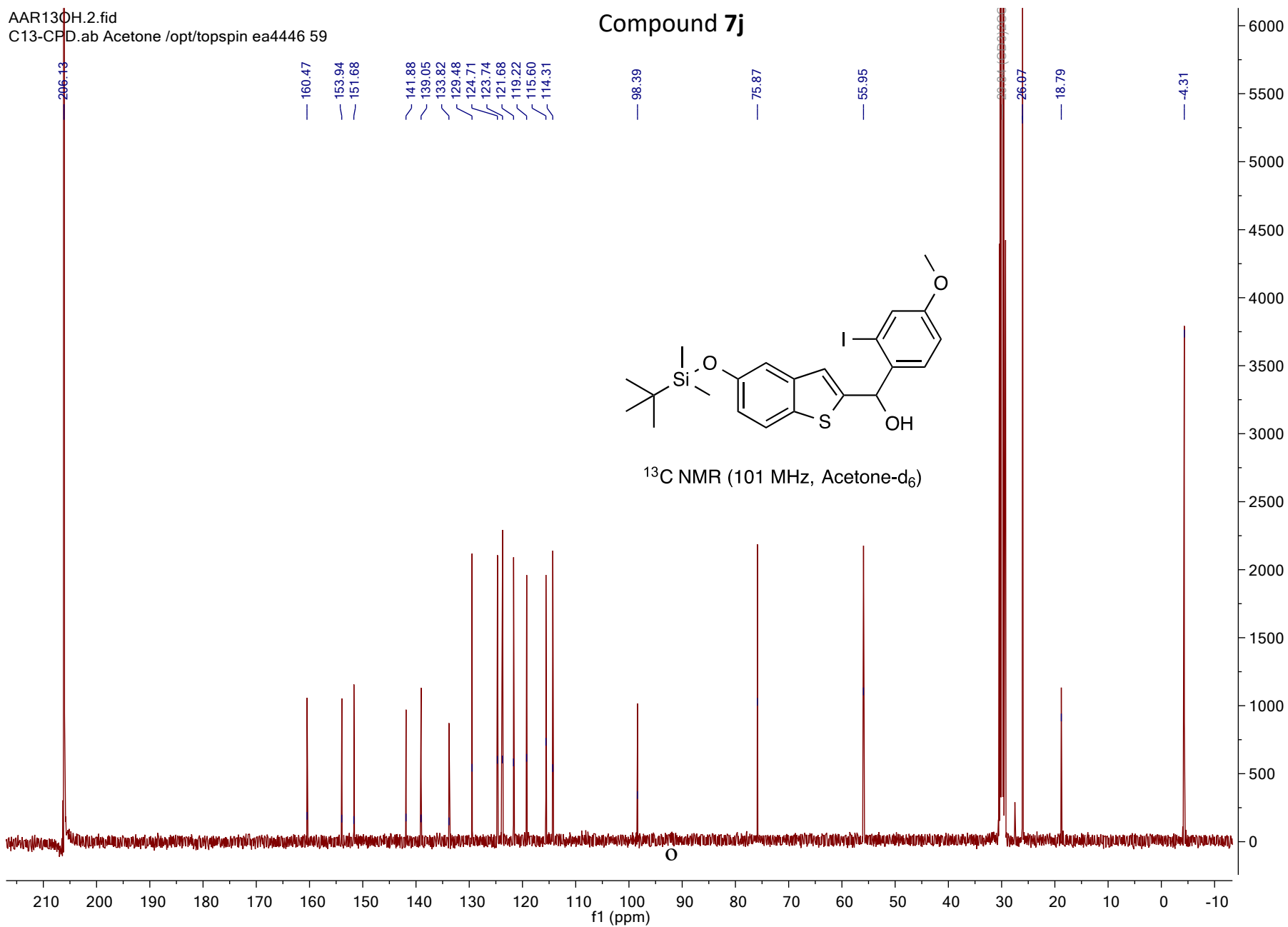


AAR130H.2.fid  
C13-CPD.ab Acetone /opt/topspin ea4446 59

### Compound 7j

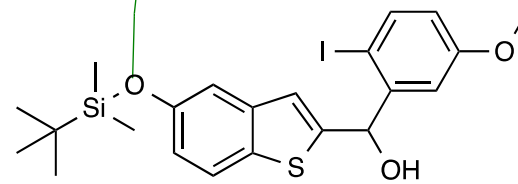


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

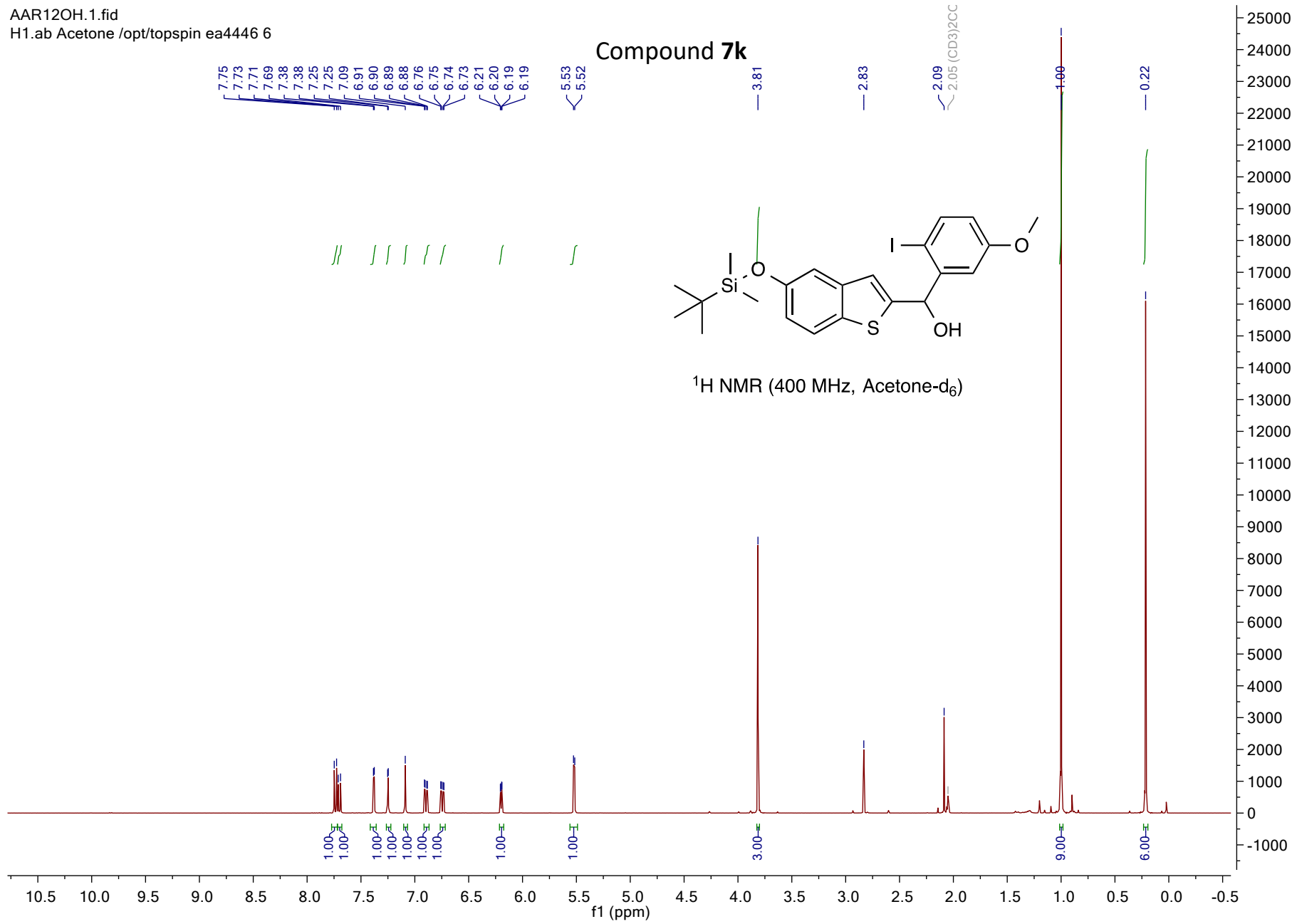


AAR12OH.1.fid  
H1.ab Acetone /opt/topspin ea4446 6

### Compound 7k

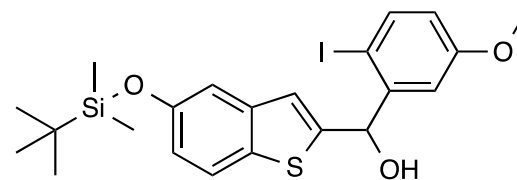


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

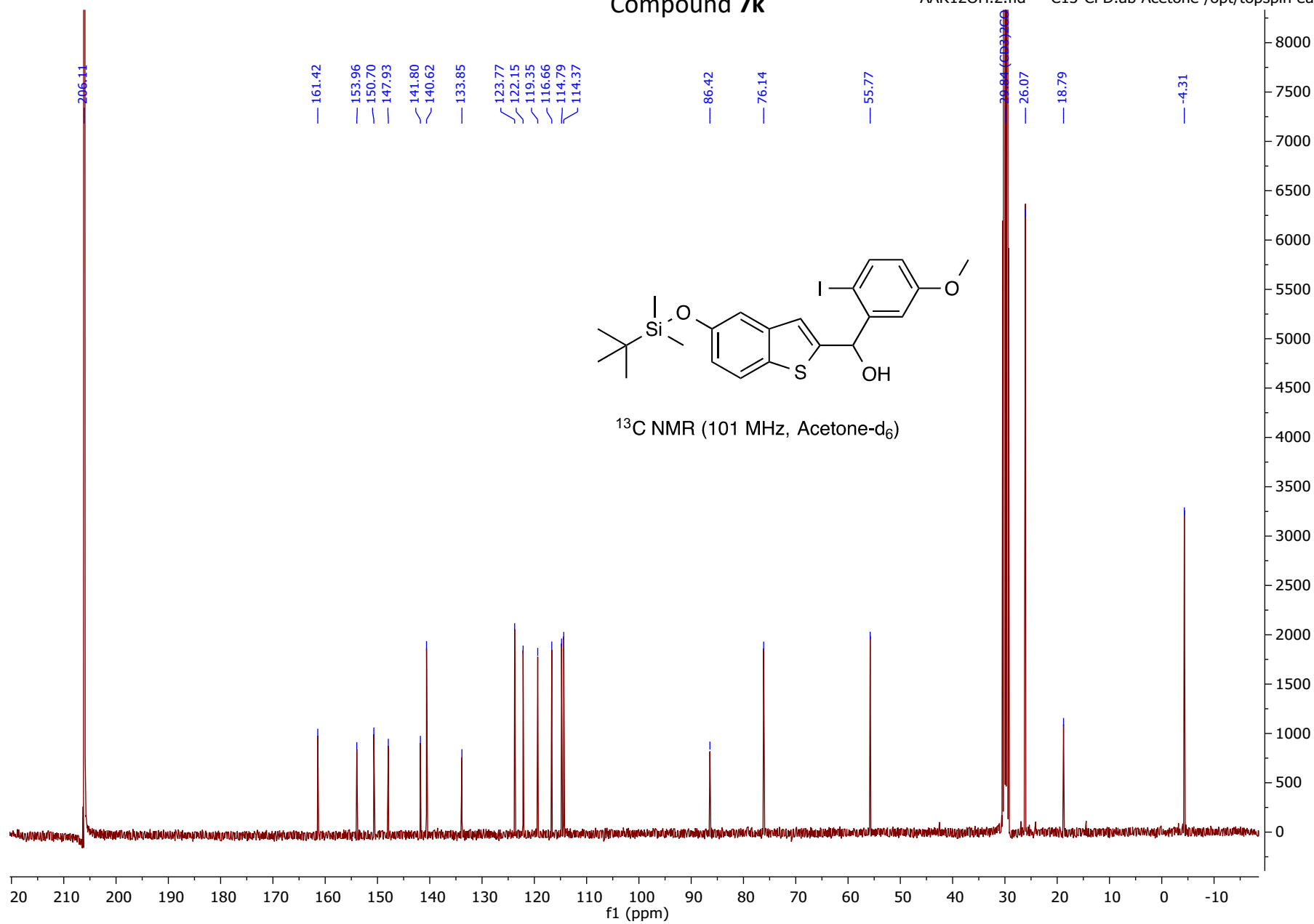


# Compound 7k

AAR12OH.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446

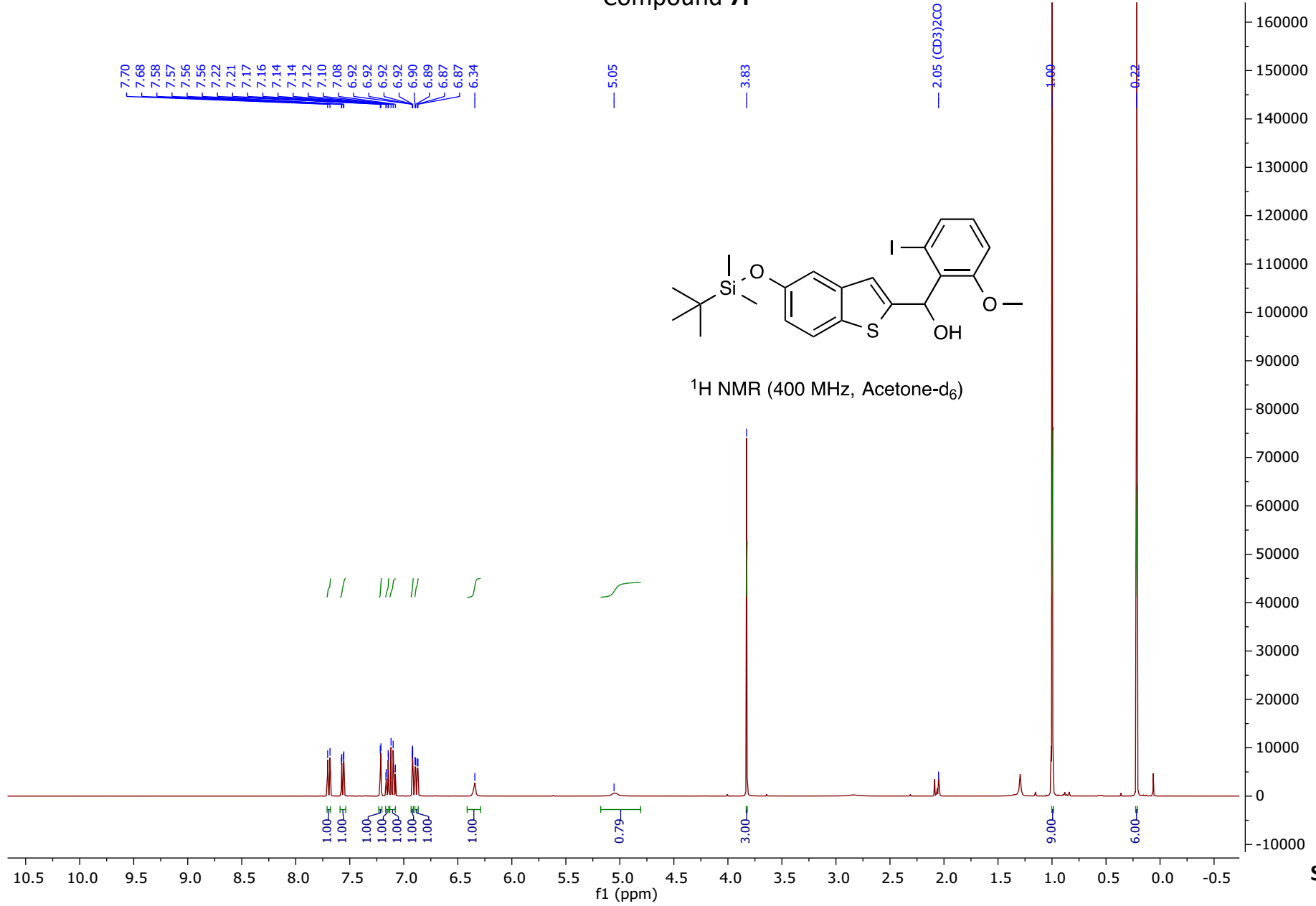


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



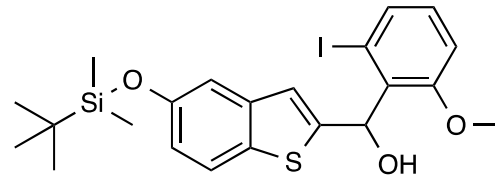
# Compound 71

AAR14OH.100.fid — no\_title — 1H\_64 acetone /opt/topspin ea4446 :



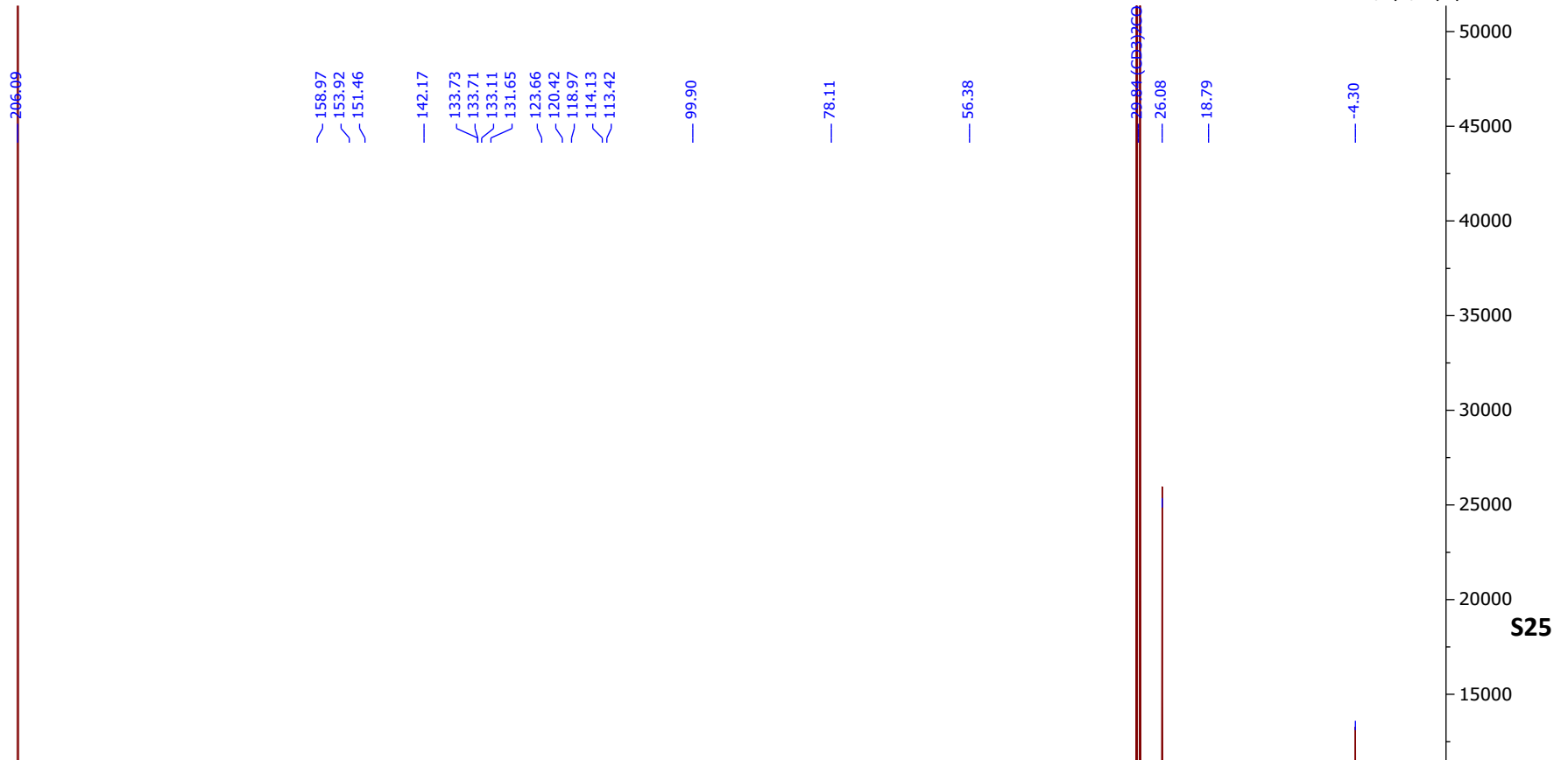


Compound **7l**  
Compound **7m**



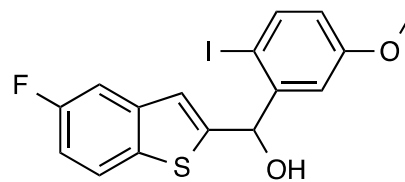
$^{13}\text{C}$  NMR (101 MHz, Acetone- $\text{d}_6$ )

AAR14OH.101.fid — RD = 4s — 13C\_CPD\_1k Acetone /opt/topspin ea444€

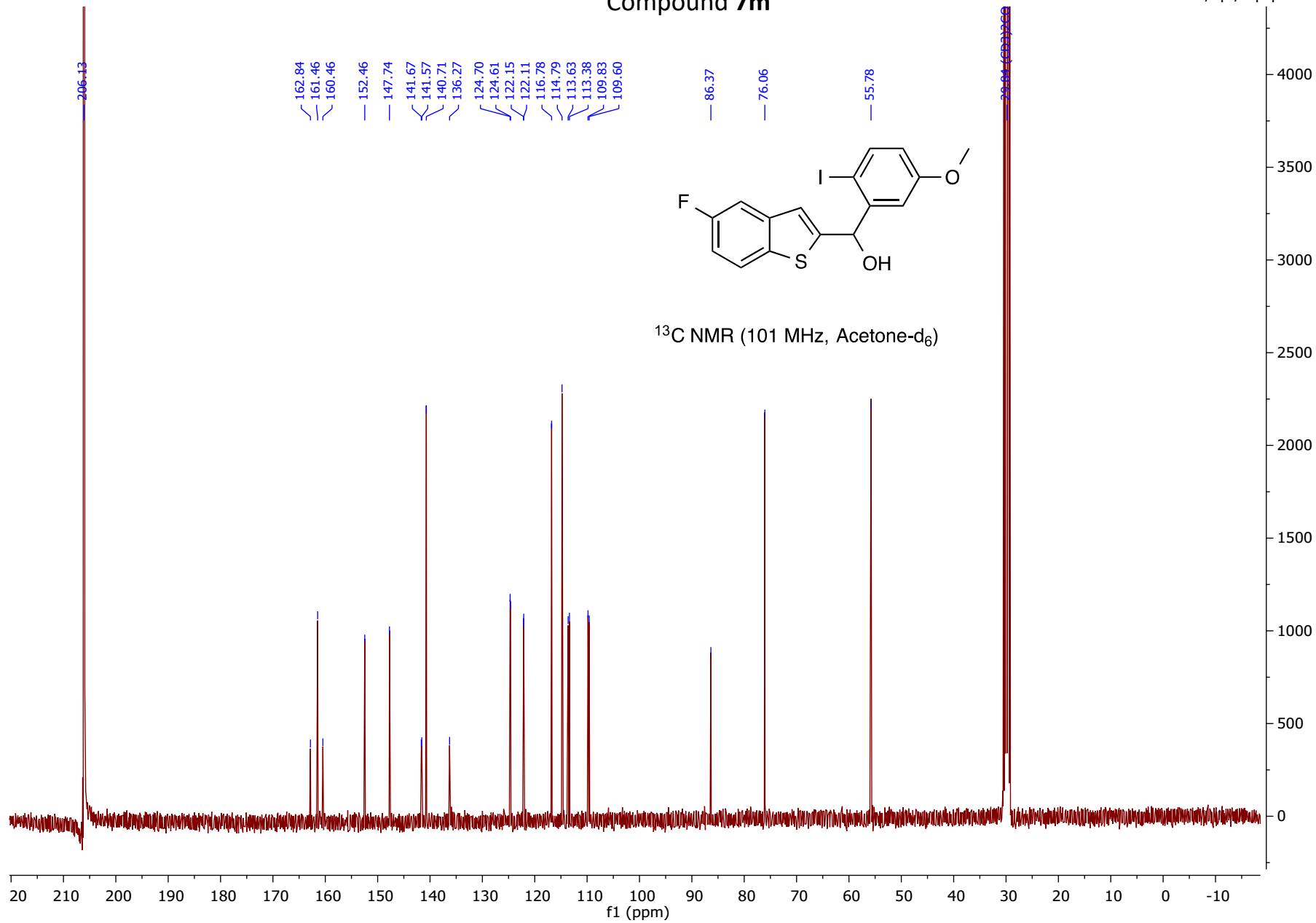


# Compound 7m

AAR2OH.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446

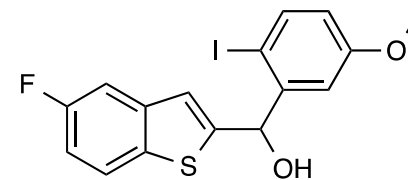


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

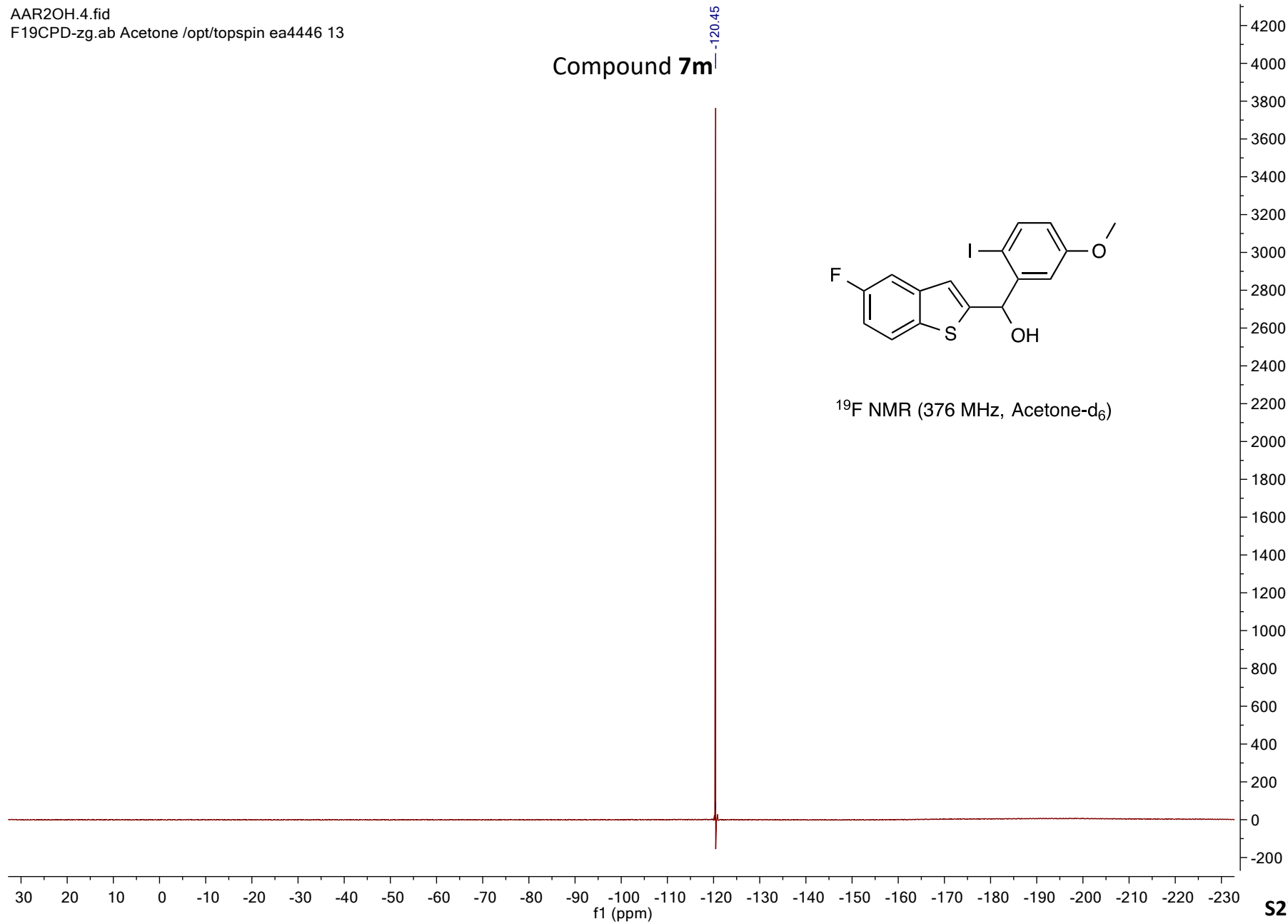


AAR2OH.4.fid  
F19CPD-zg.ab Acetone /opt/topspin ea4446 13

Compound **7m** -120.45

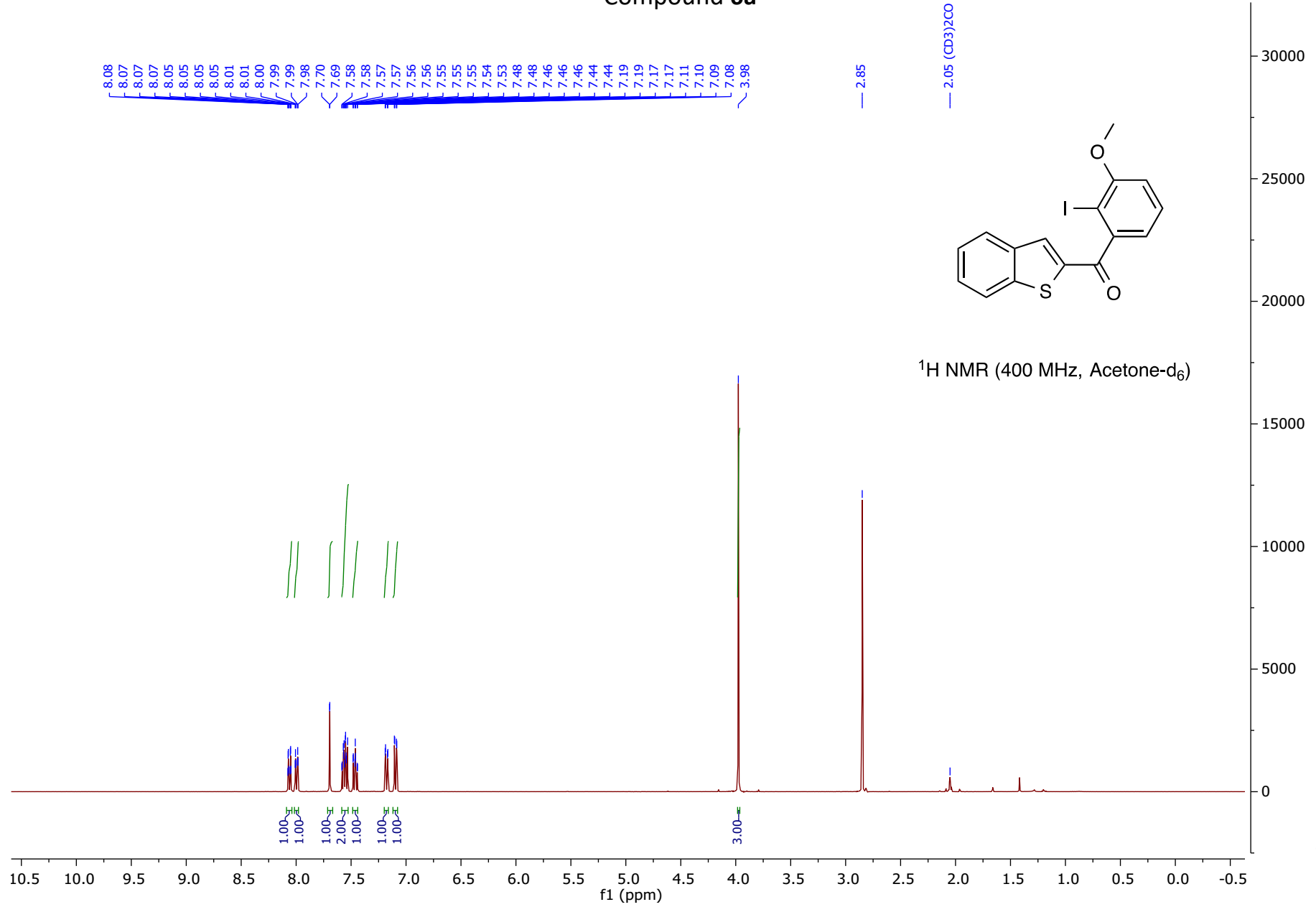


$^{19}\text{F}$  NMR (376 MHz, Acetone- $\text{d}_6$ )



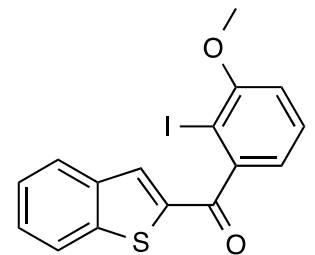
# Compound 6a

AAR3CO.4.fid — H1.ab Acetone /opt/topspin ea4446

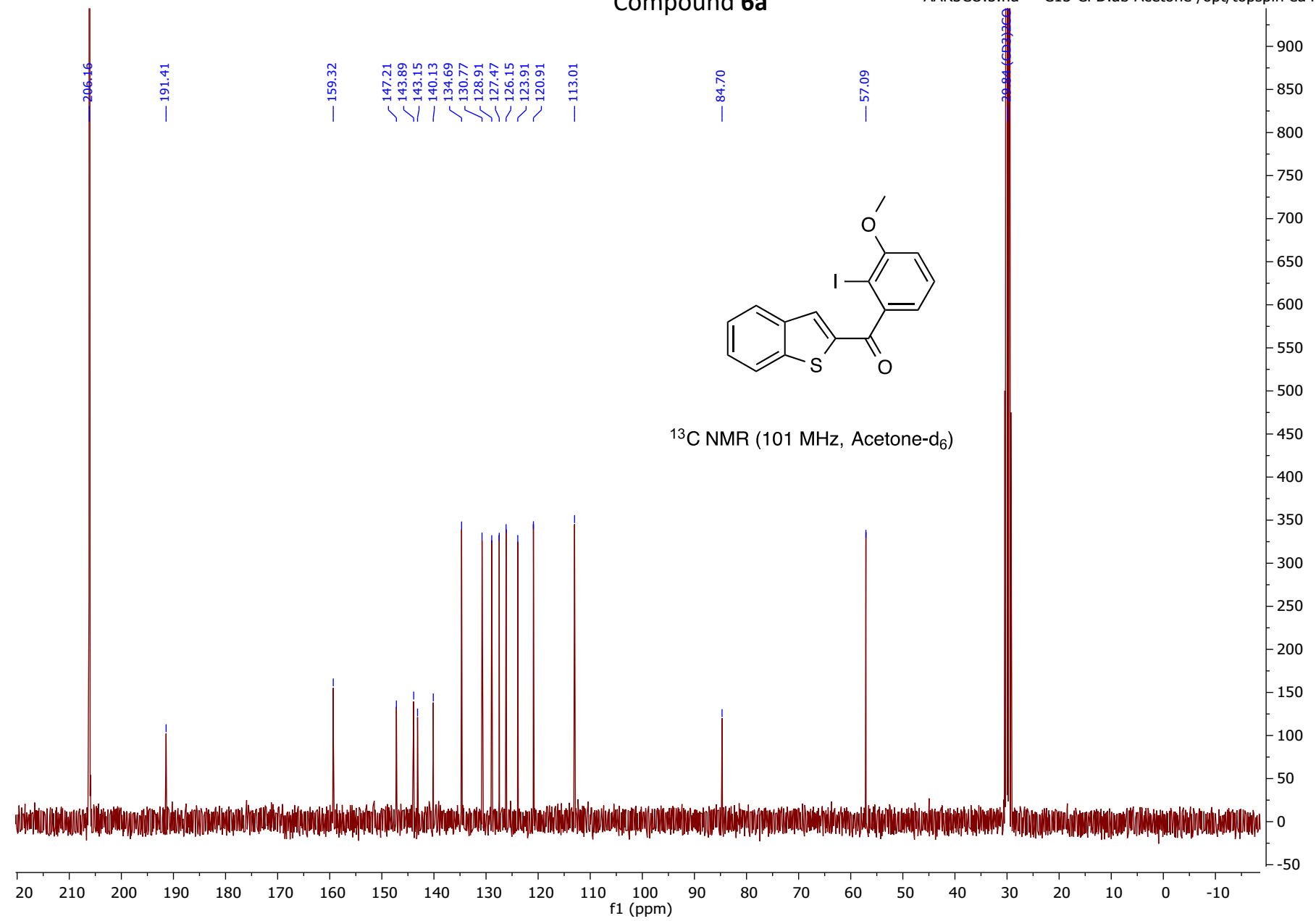


# Compound 6a

AAR3CO.5.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !

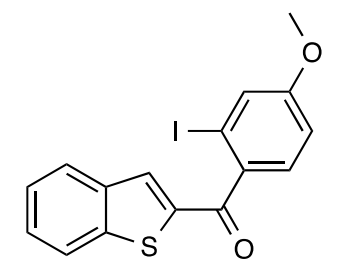
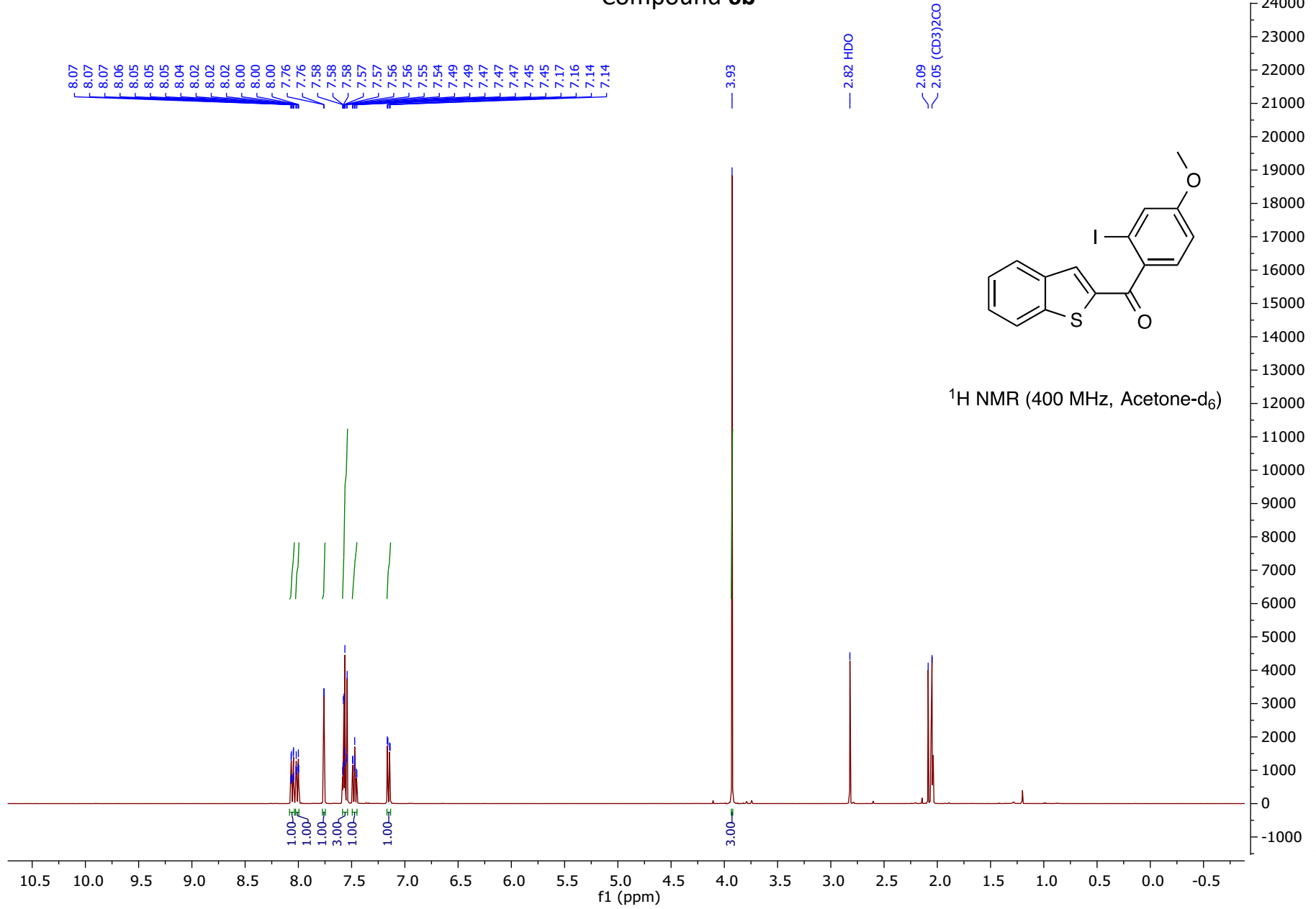


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



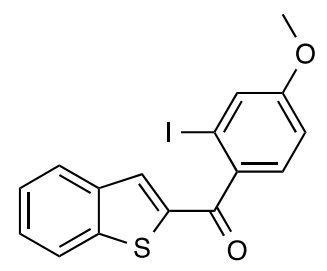
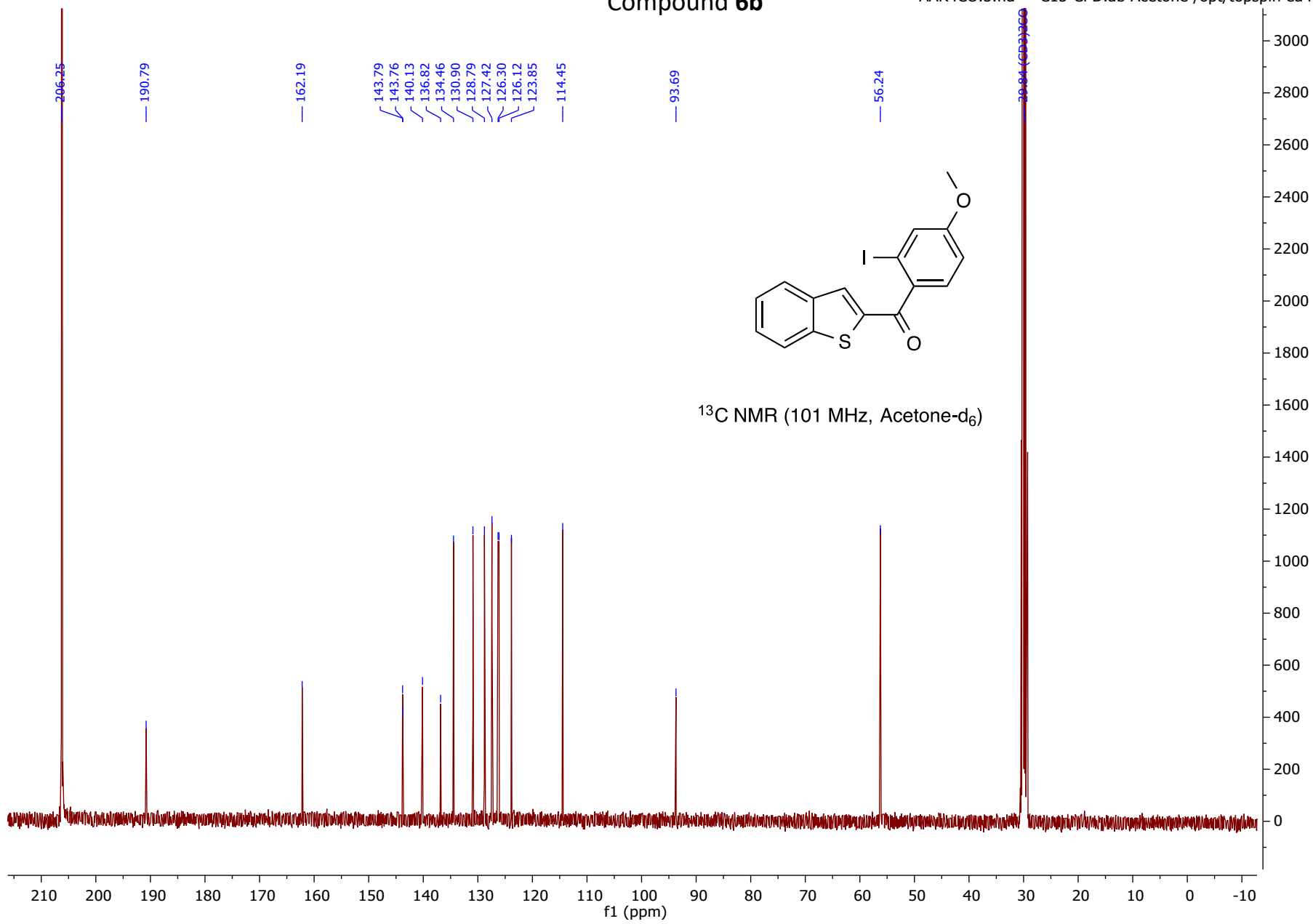
# Compound 6b

AAR4CO.1.fid — H1.ab Acetone /opt/topspin ea4446



# Compound 6b

AAR4CO.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 ;

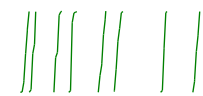


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

AAR5CO.1.fid  
H1.ab CDCl3 /opt/topspin ea4446 52

### Compound 6c

8.08  
8.06  
8.06  
8.03  
8.01  
7.88  
7.86  
7.78  
7.77  
7.60  
7.60  
7.58  
7.58  
7.58  
7.56  
7.56  
7.50  
7.50  
7.48  
7.48  
7.48  
7.46  
7.46  
7.18  
7.18  
6.98  
6.97  
6.96  
6.95



1.00  
1.00  
1.00  
1.00  
1.00  
1.00  
1.00  
1.00

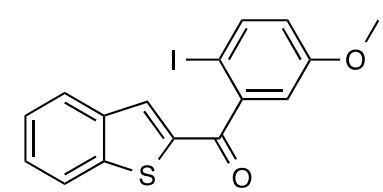
3.88

3.00

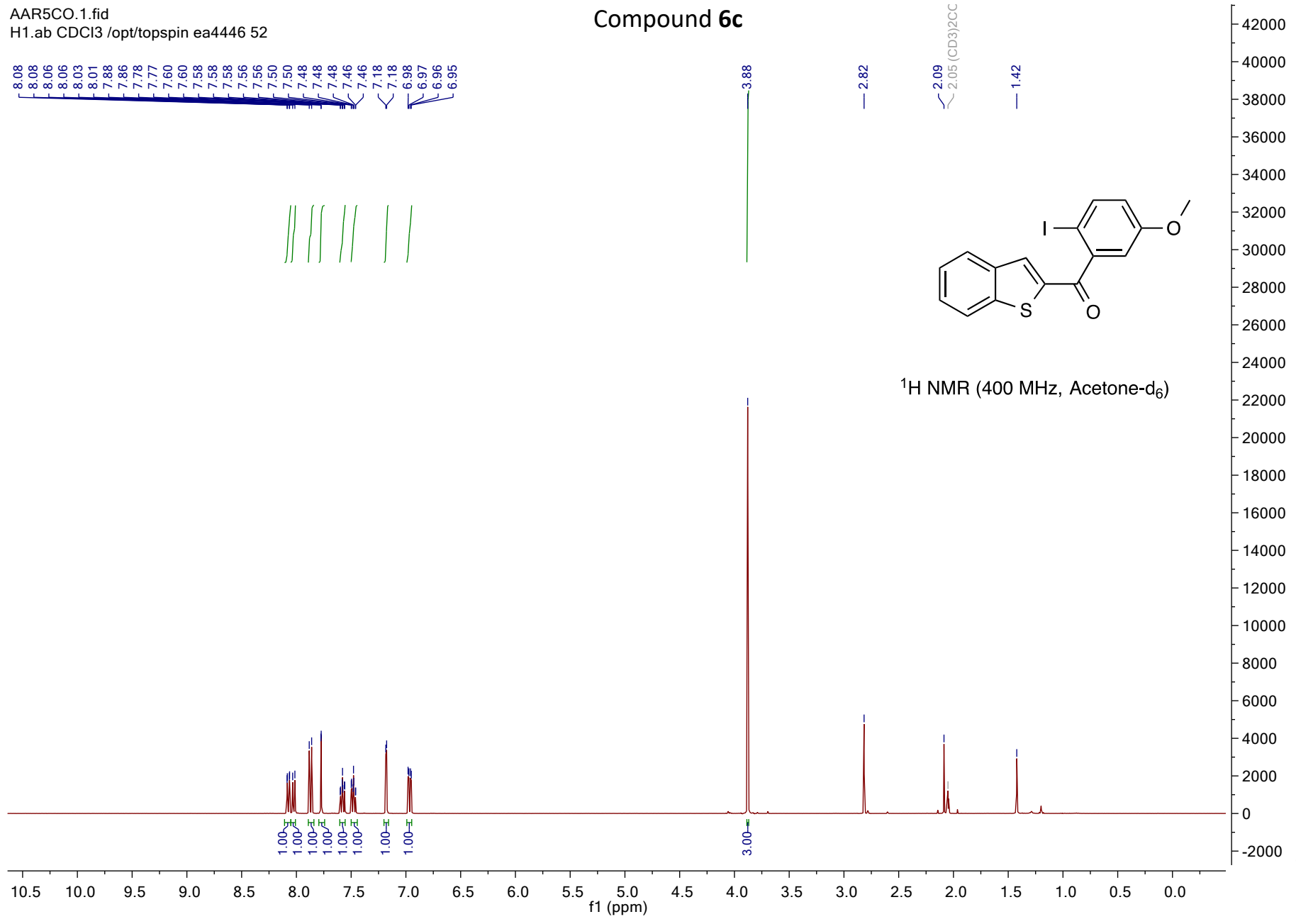
2.82

2.09  
2.05 (CD3)2CC

1.42



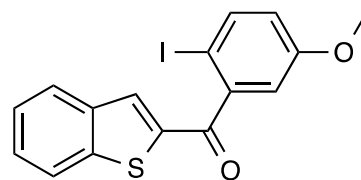
<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)



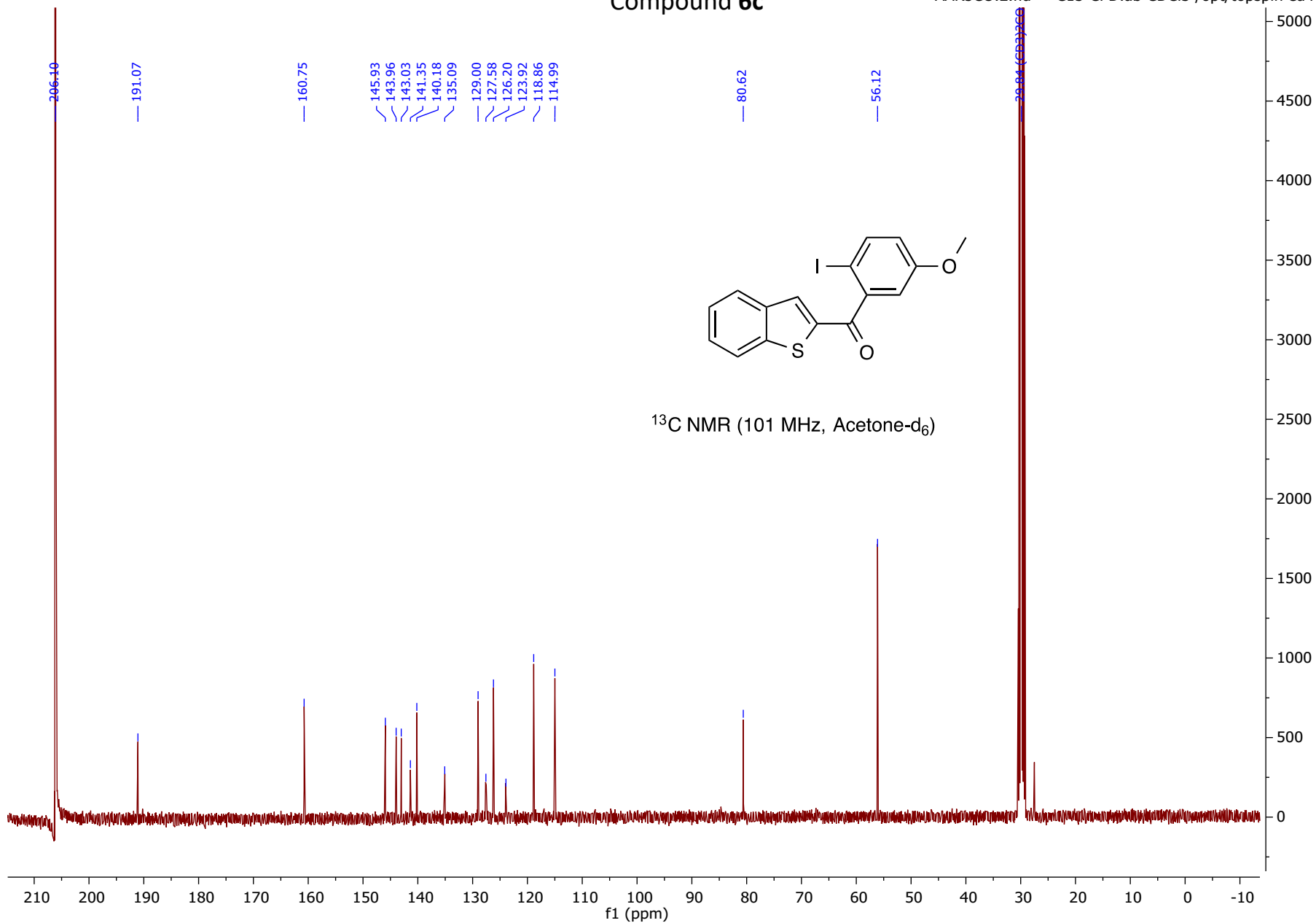


# Compound 6c

AAR5CO.2.fid — C13-CPD.ab CDCl3 /opt/topspin ea4446 !

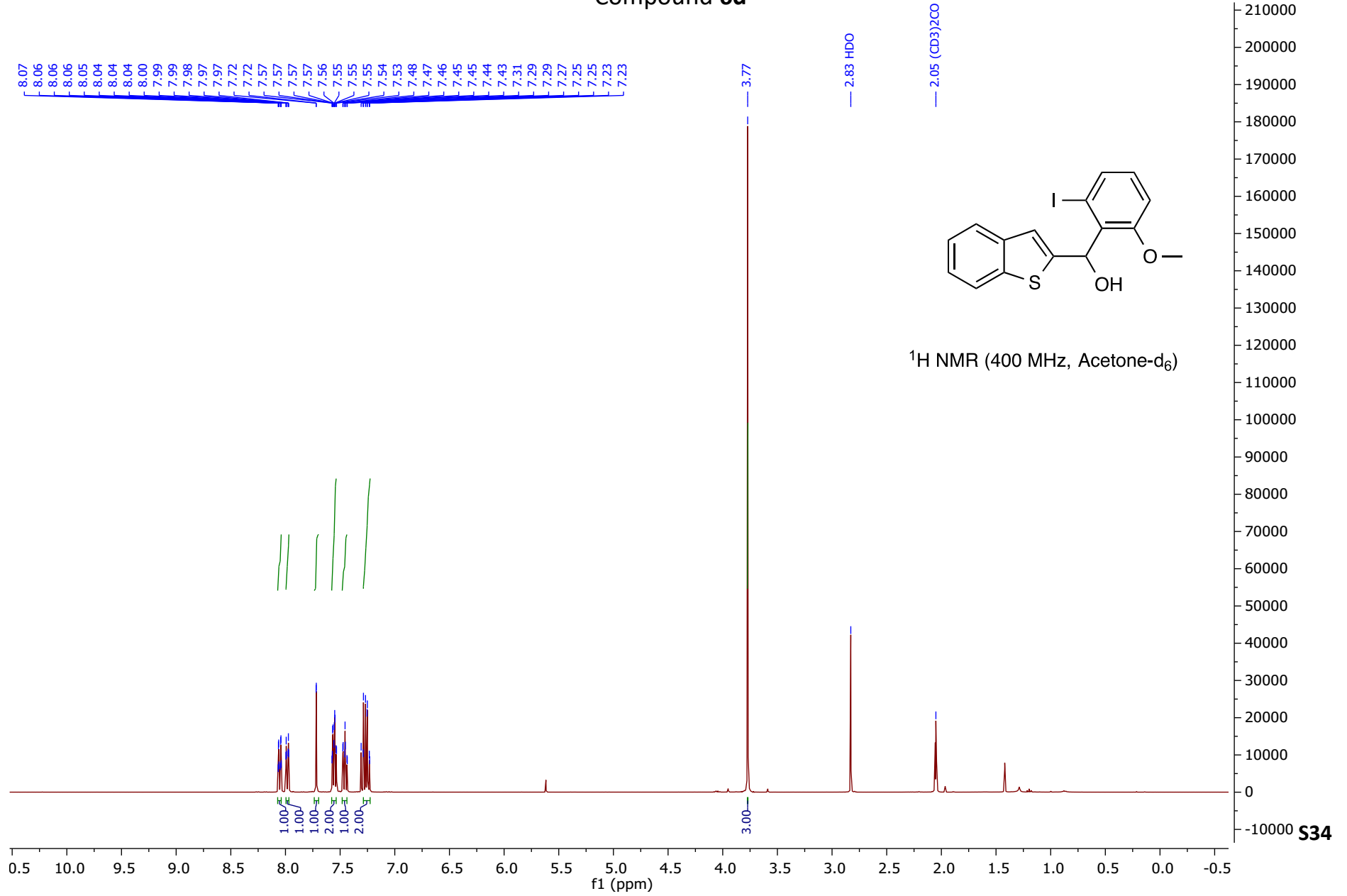


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



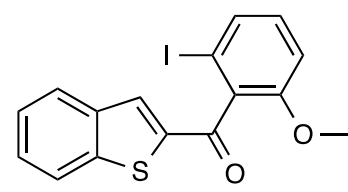
# Compound 6d

AAR6CO.100.fid — no\_title — 1H\_64 acetone /opt/topspin ea4446 :

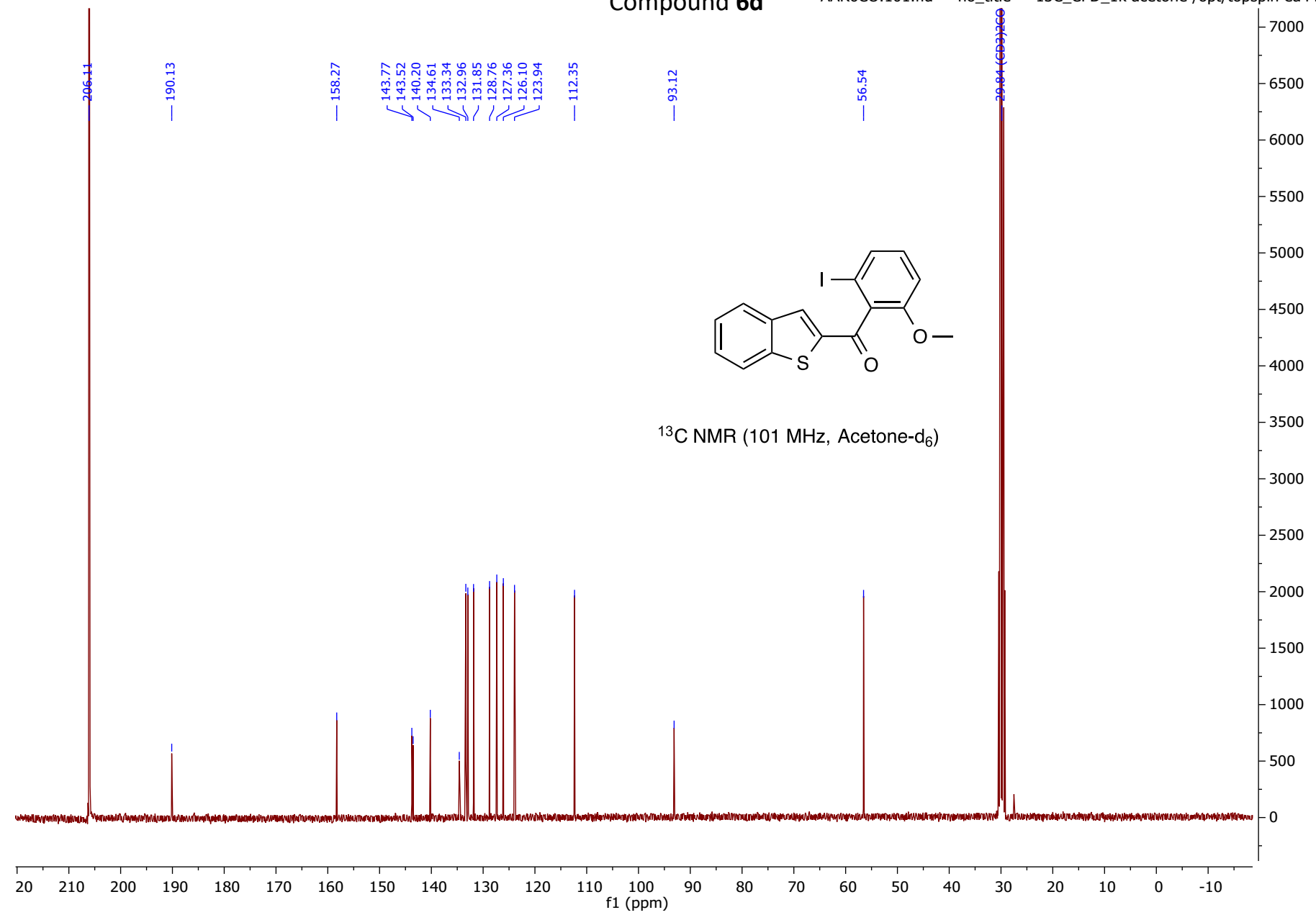


# Compound 6d

AAR6CO.101.fid — no\_title — 13C\_CPD\_1k acetone /opt/topspin ea4446

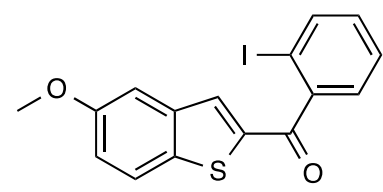
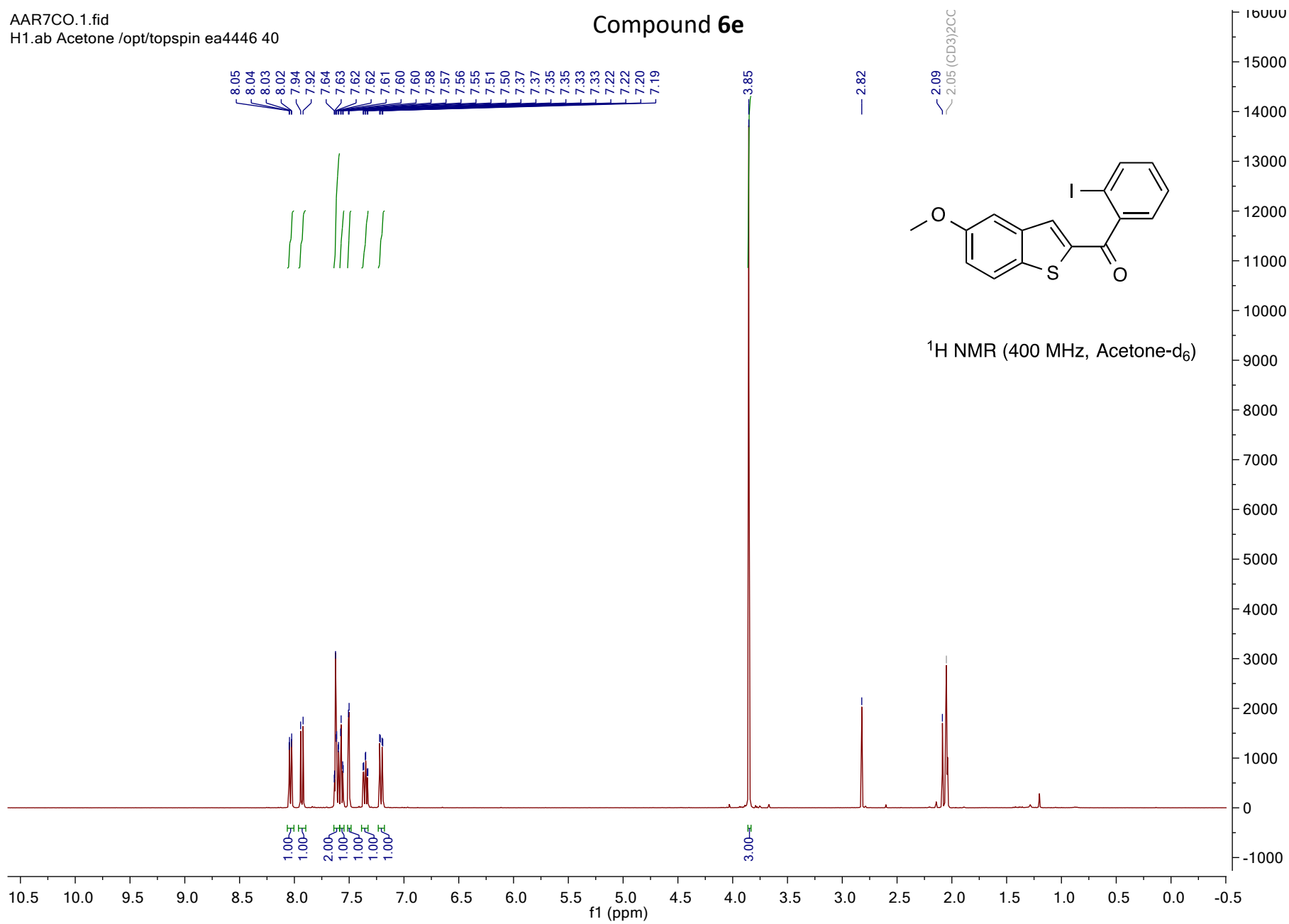


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



AAR7CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 40

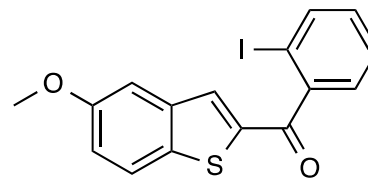
### Compound 6e



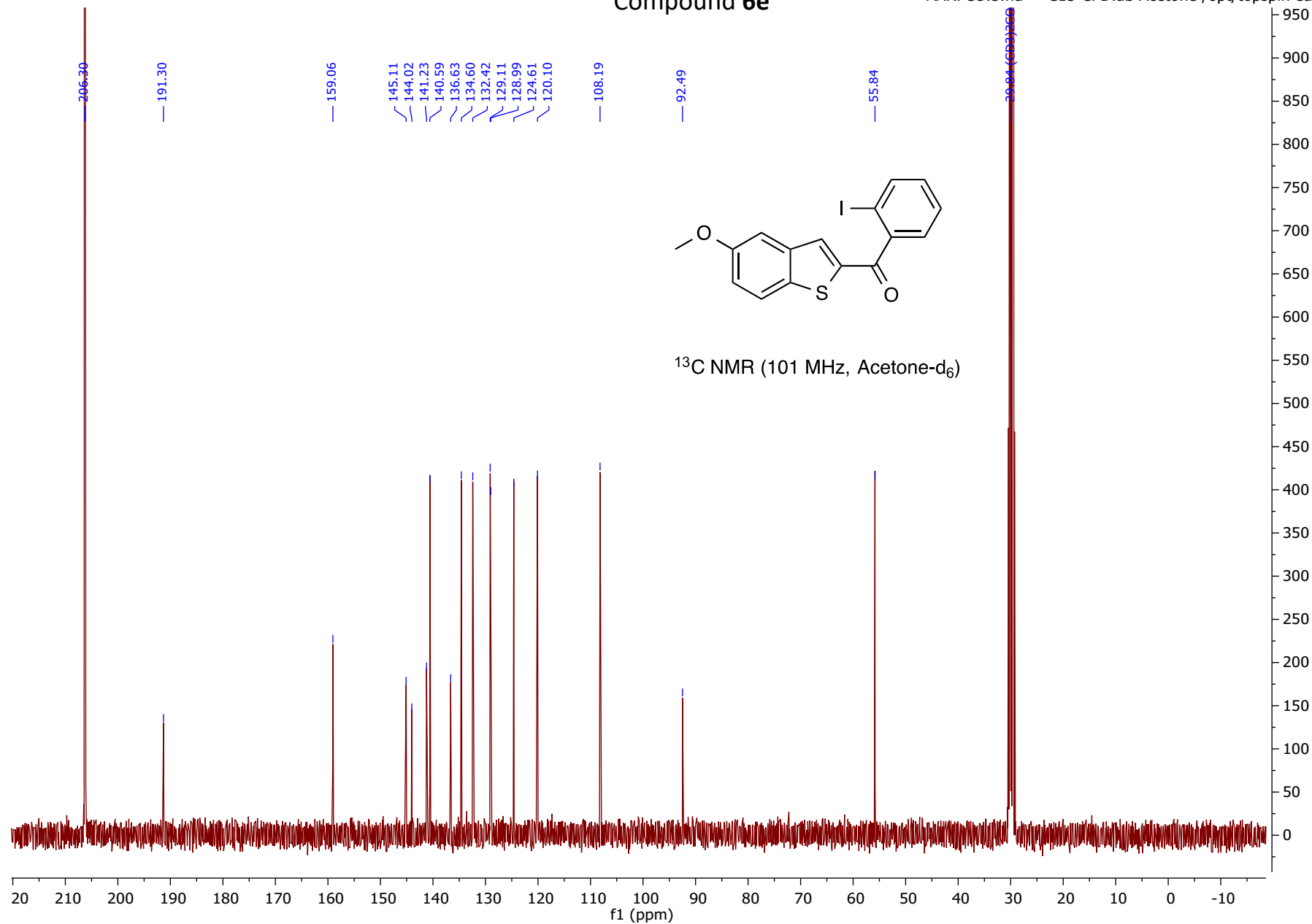
<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

# Compound 6e

AAR7CO.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !

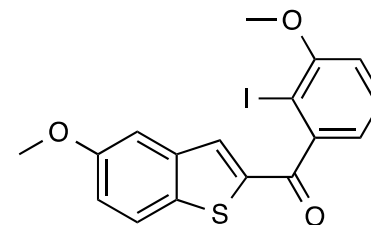
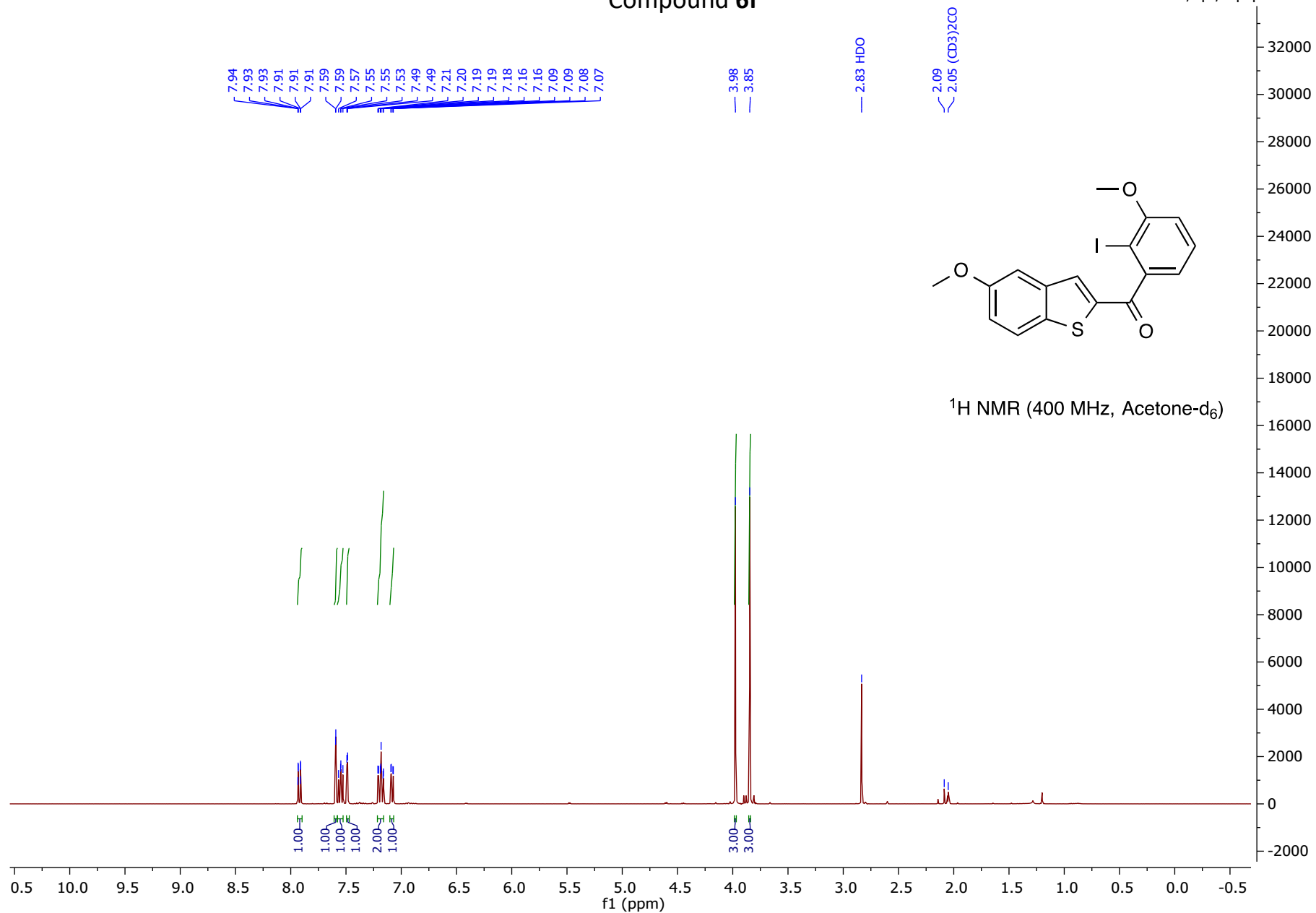


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



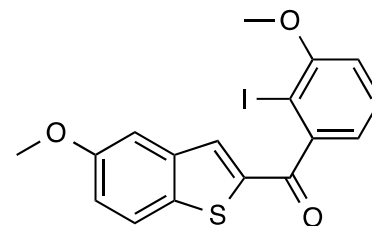
# Compound 6f

AAR8CO.1.fid — H1.ab Acetone /opt/topspin ea4446

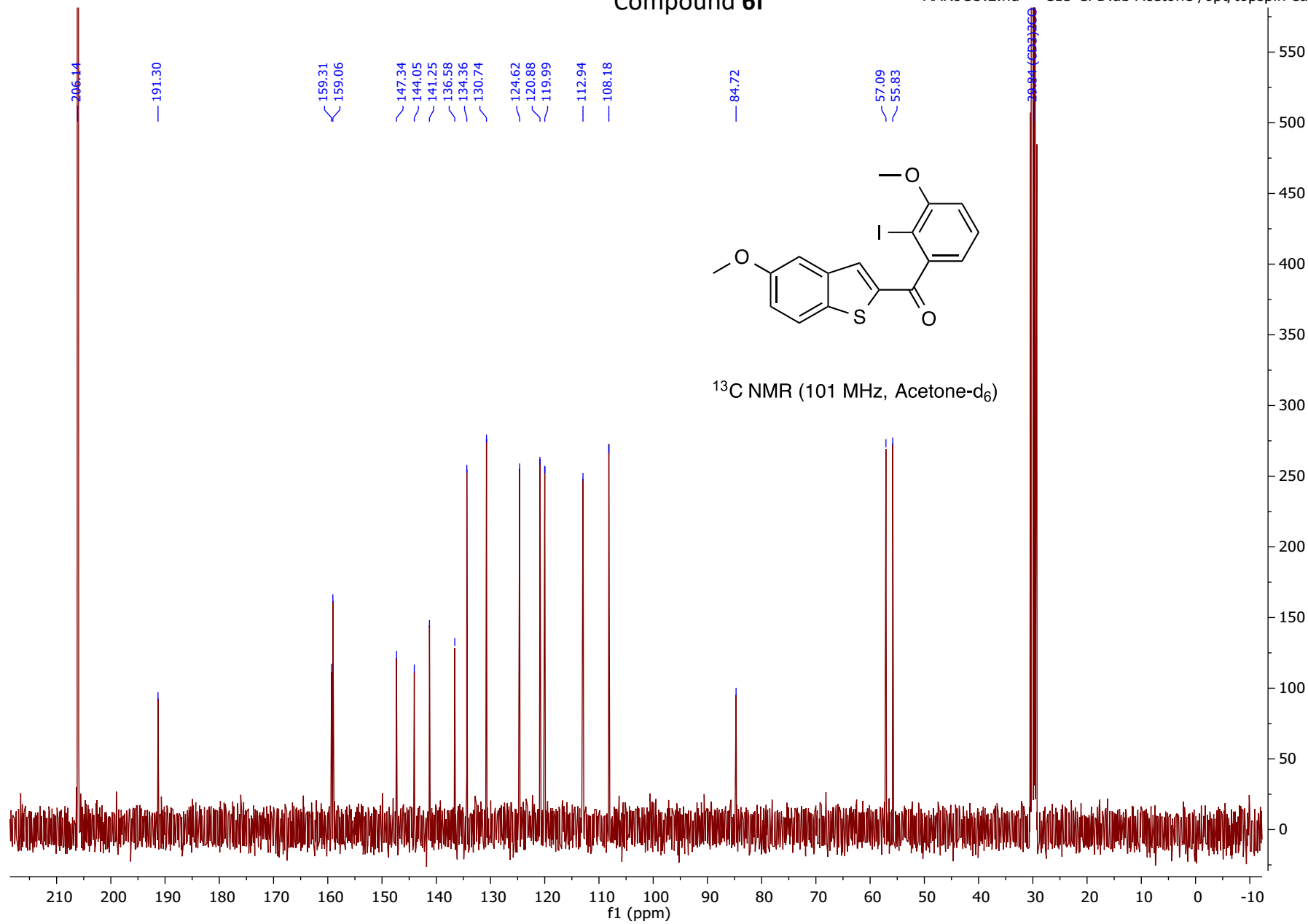


# Compound 6f

AAR8CO.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !

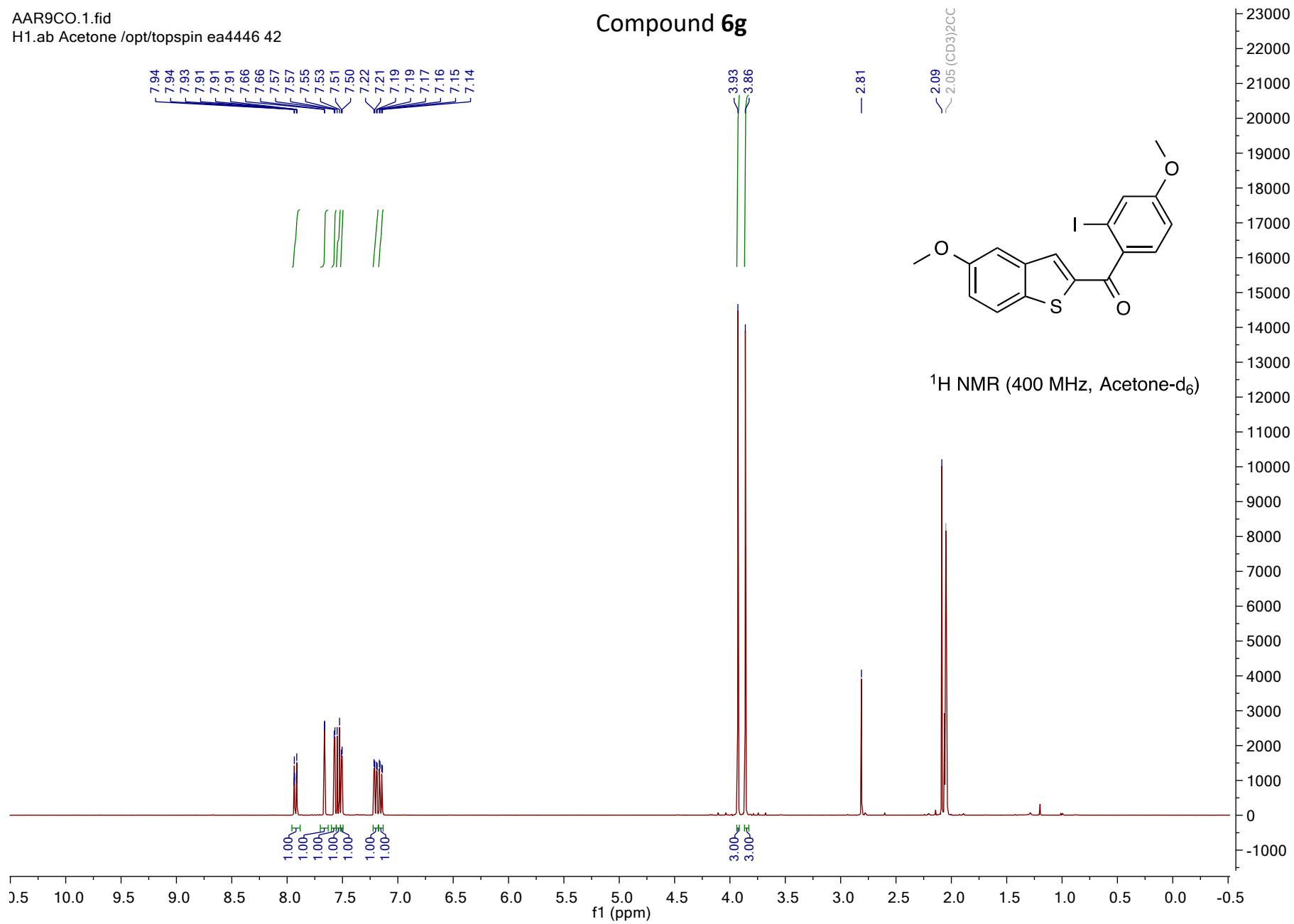
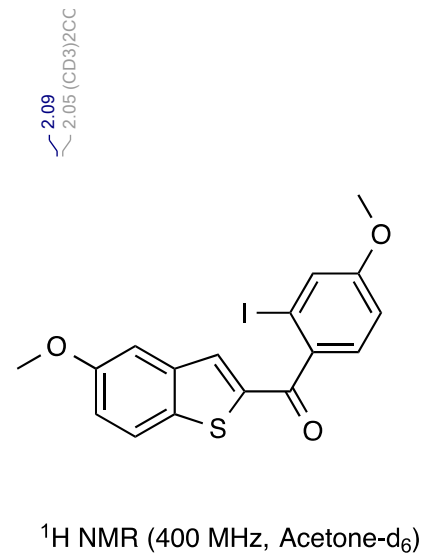


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



AAR9CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 42

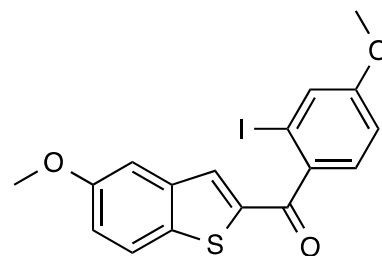
### Compound 6g



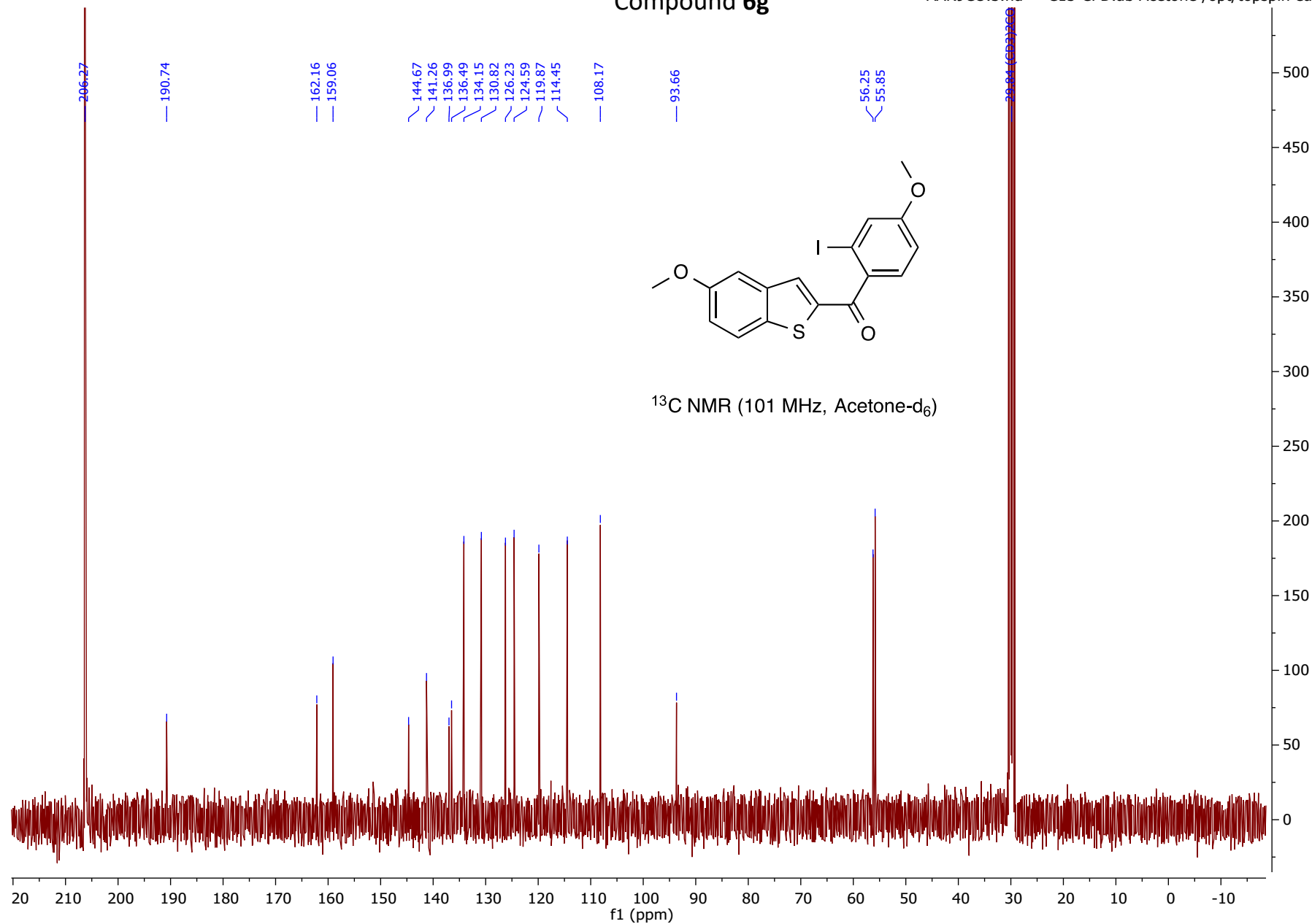


# Compound 6g

AAR9CO.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !



<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



AAR10CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 8

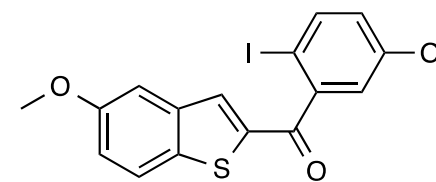
### Compound 6h

7.94  
7.92  
7.88  
7.85  
7.67  
7.52  
7.51  
7.22  
7.22  
7.20  
7.19  
7.17  
7.16  
6.97  
6.97  
6.94

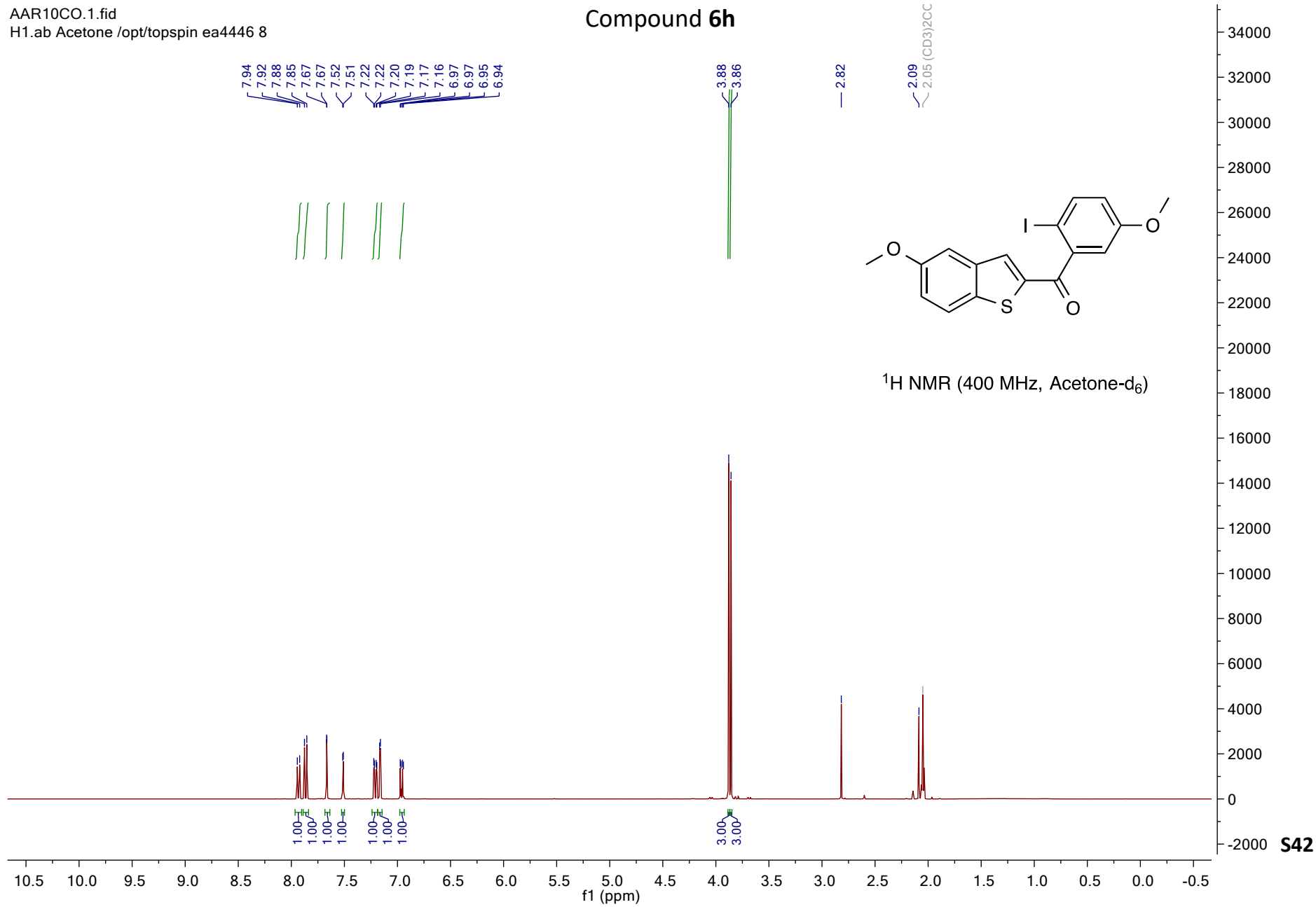
3.88  
3.86

2.82

2.09  
2.05 (CD3)2CC

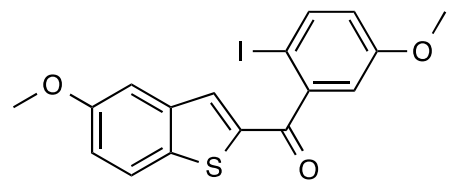


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

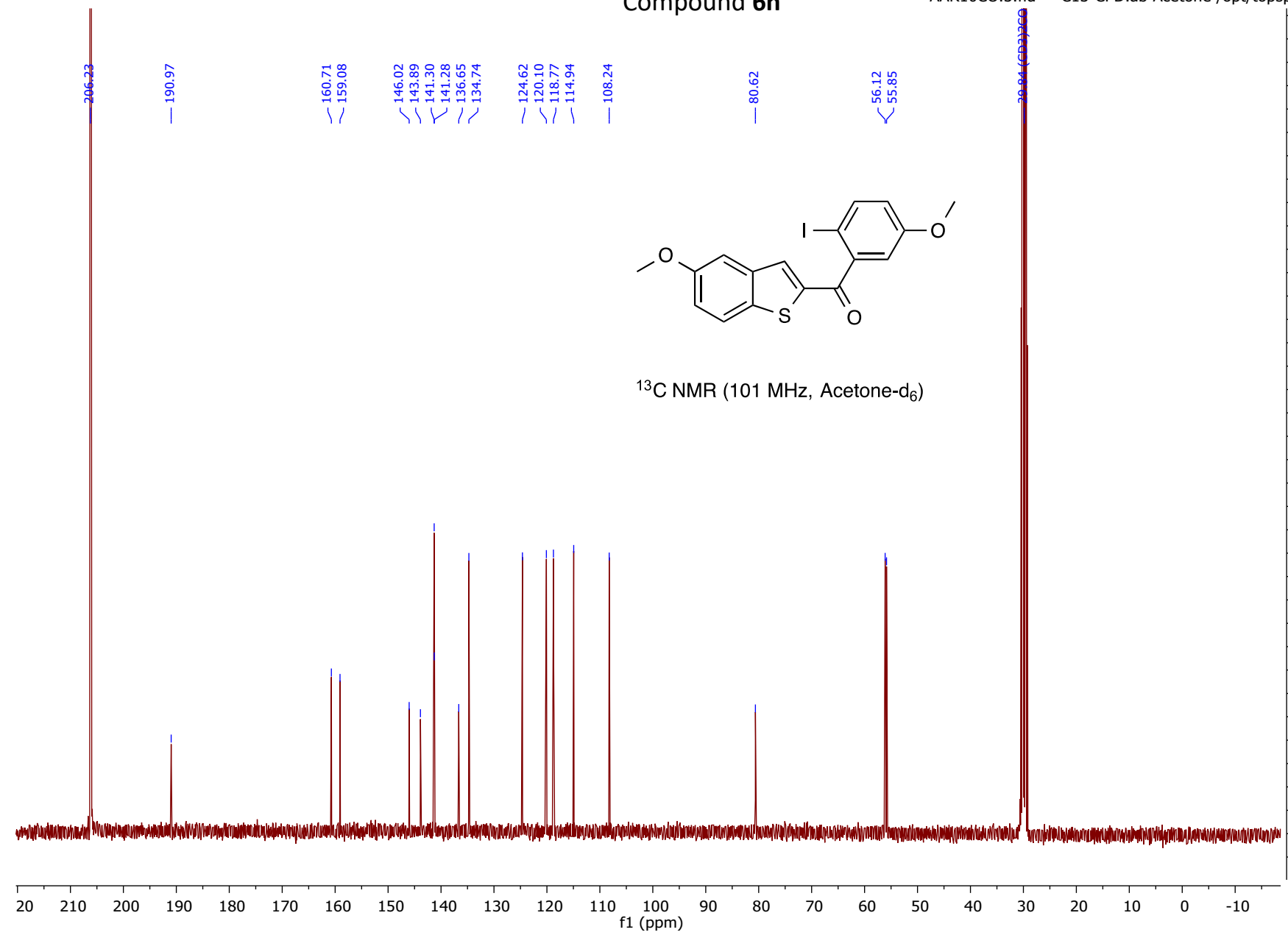


# Compound 6h

AAR10CO.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 :

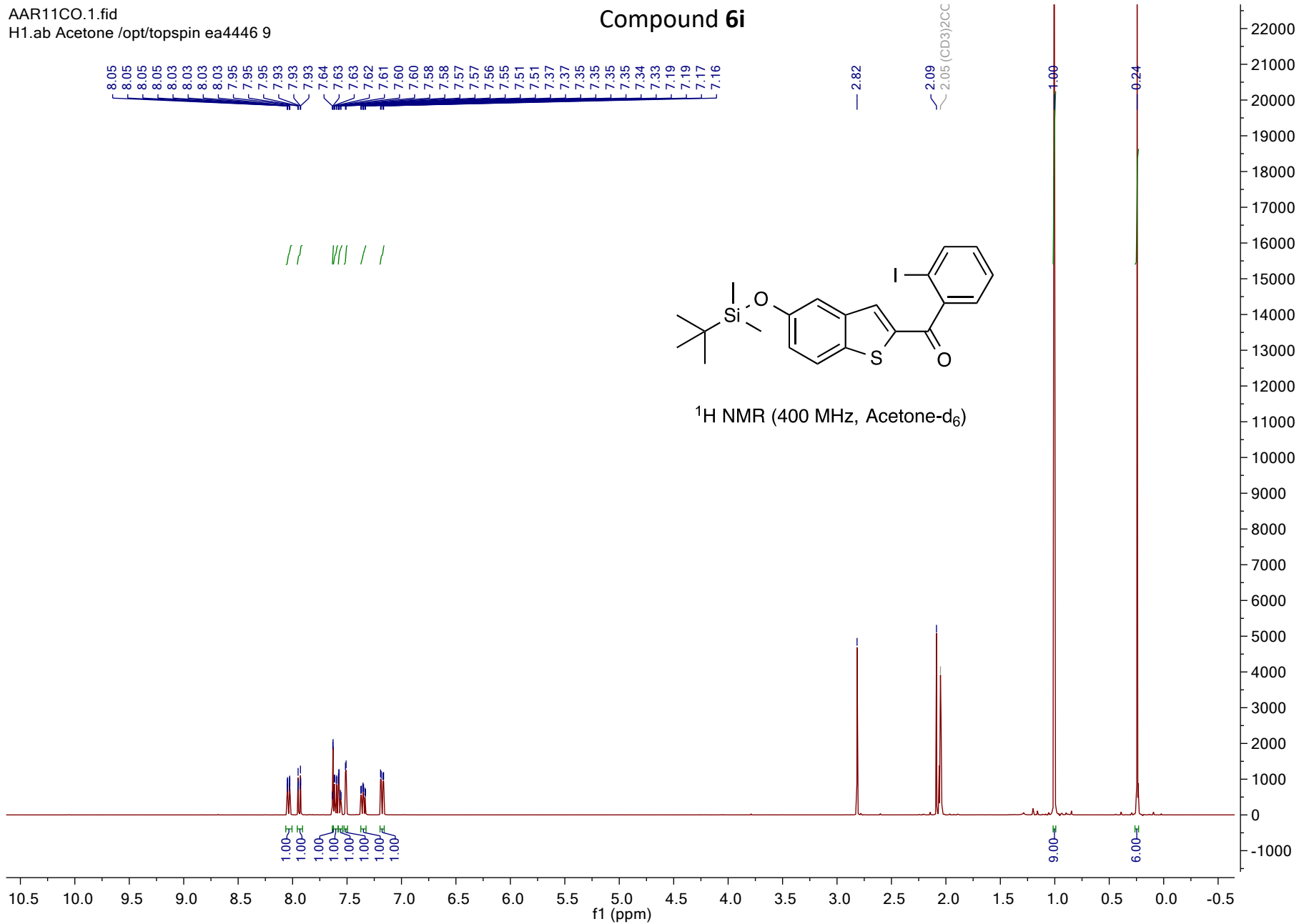


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



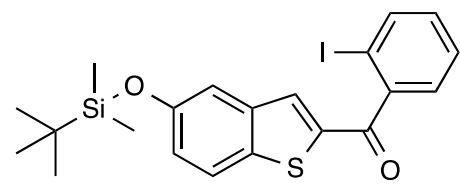
AAR11CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 9

### Compound 6i

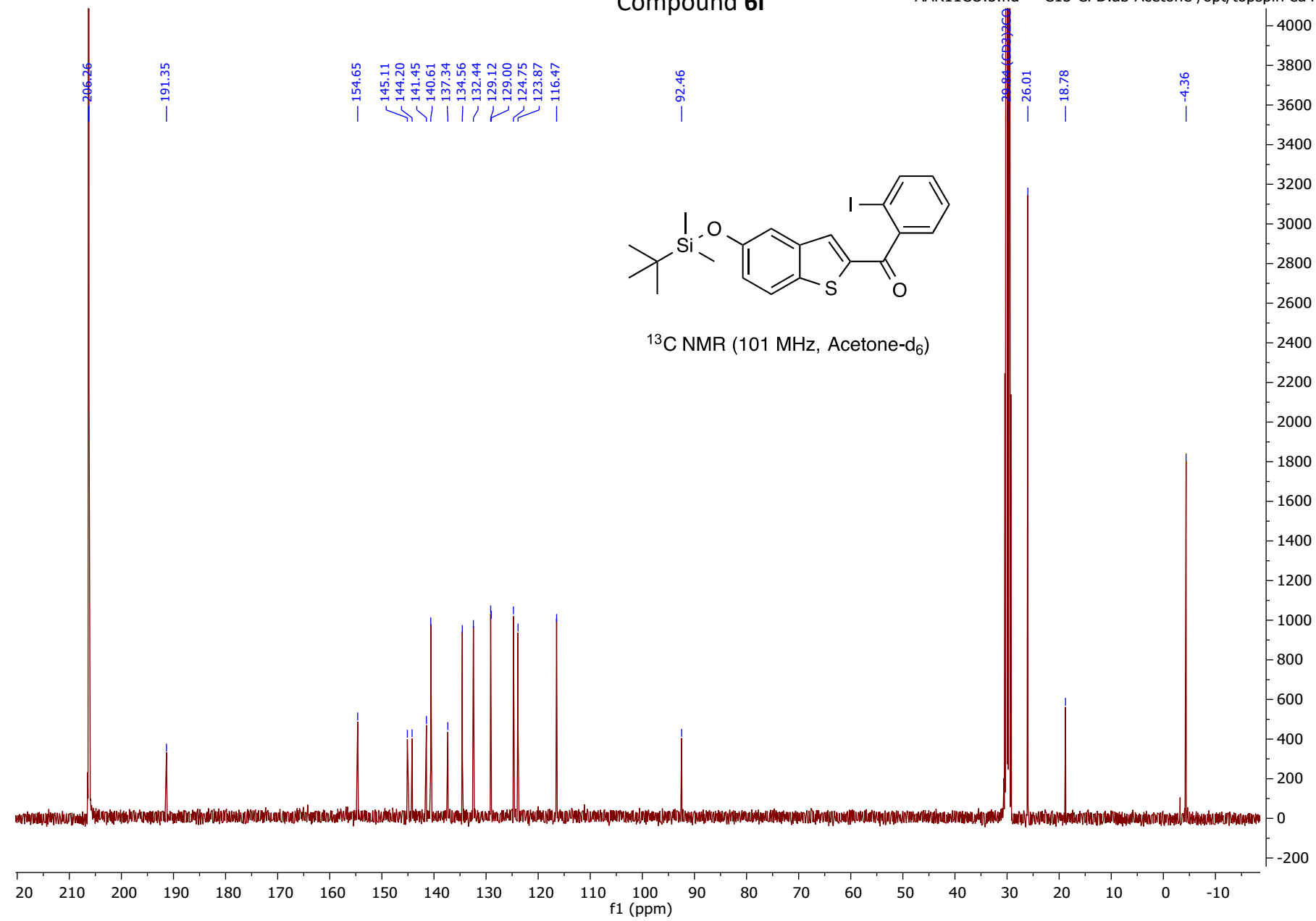


# Compound 6i

AAR11CO.5.fid — C13-CPD.ab Acetone /opt/topspin ea4446 :

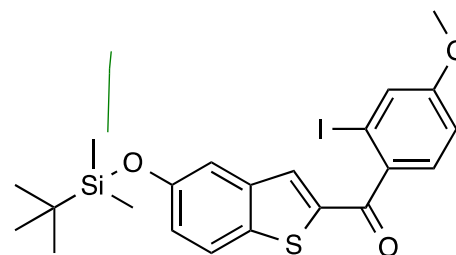


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

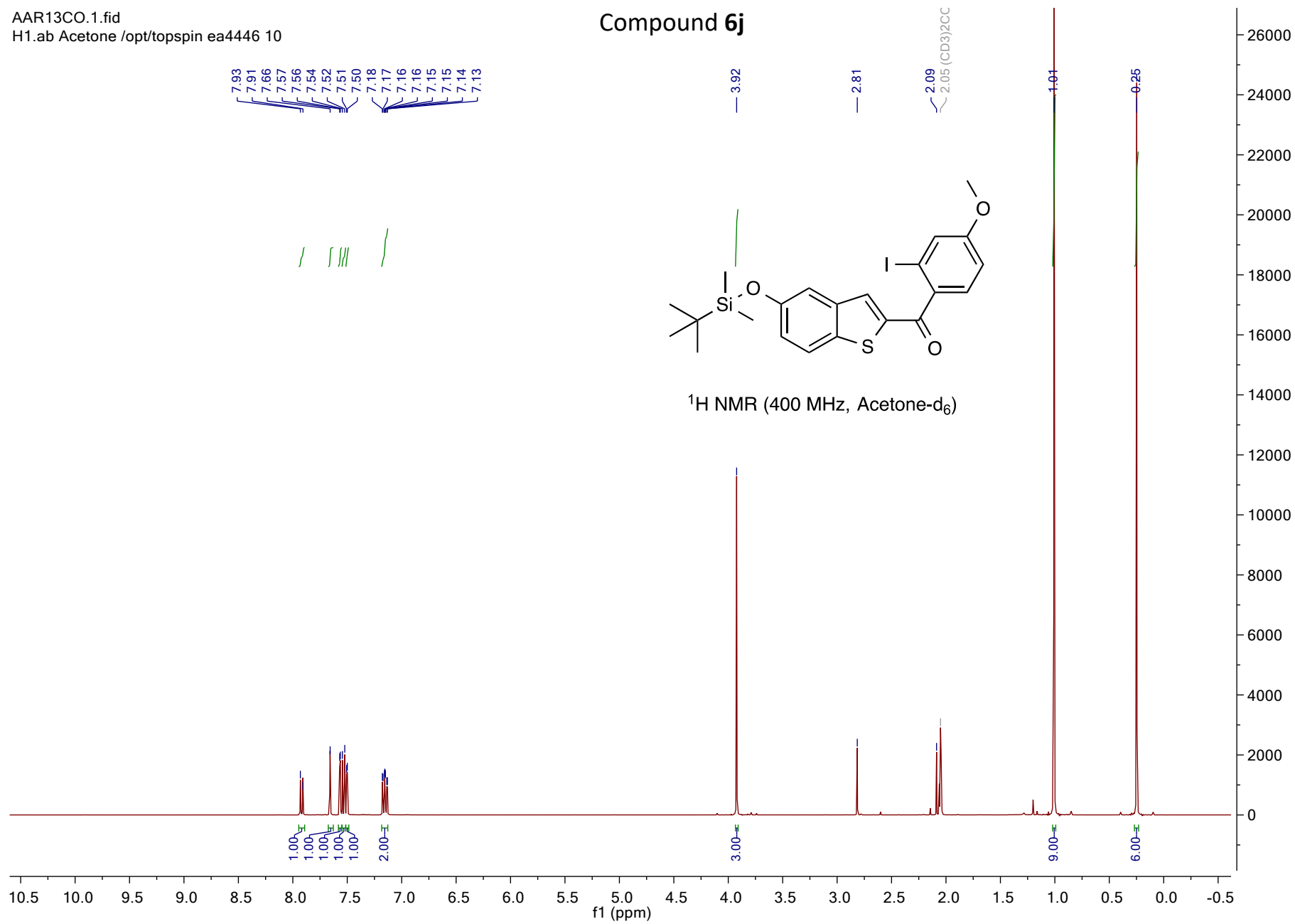


AAR13CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 10

### Compound 6j

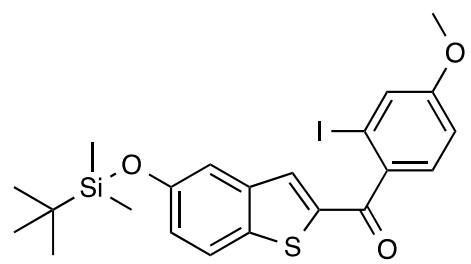


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

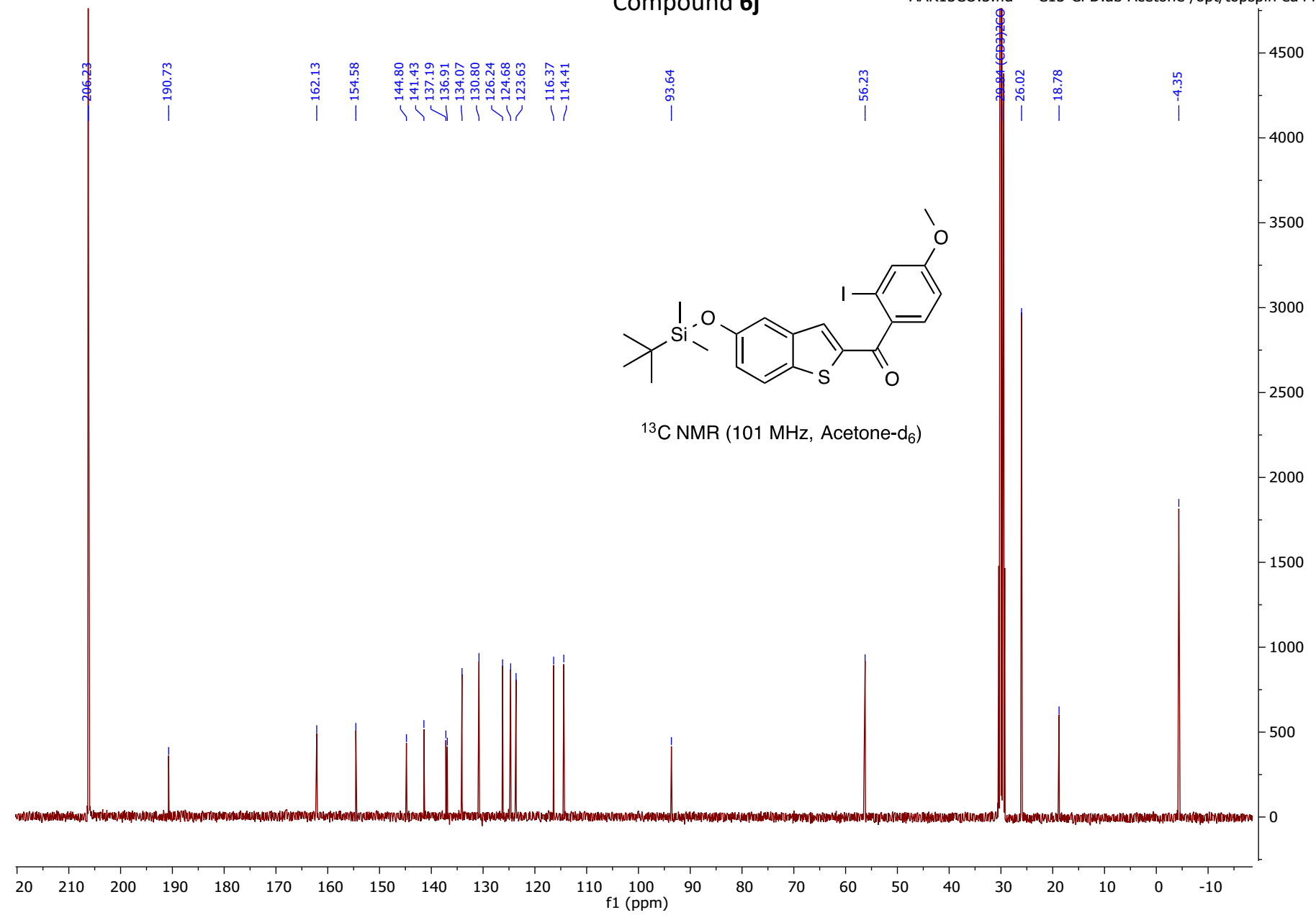


# Compound 6j

AAR13CO.3.fid — C13-CPD.ab Acetone /opt/topspin ea4446 ;

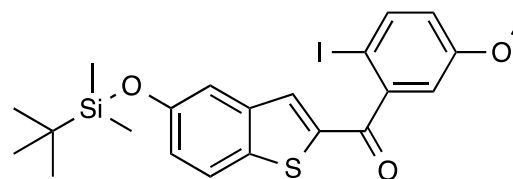


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)

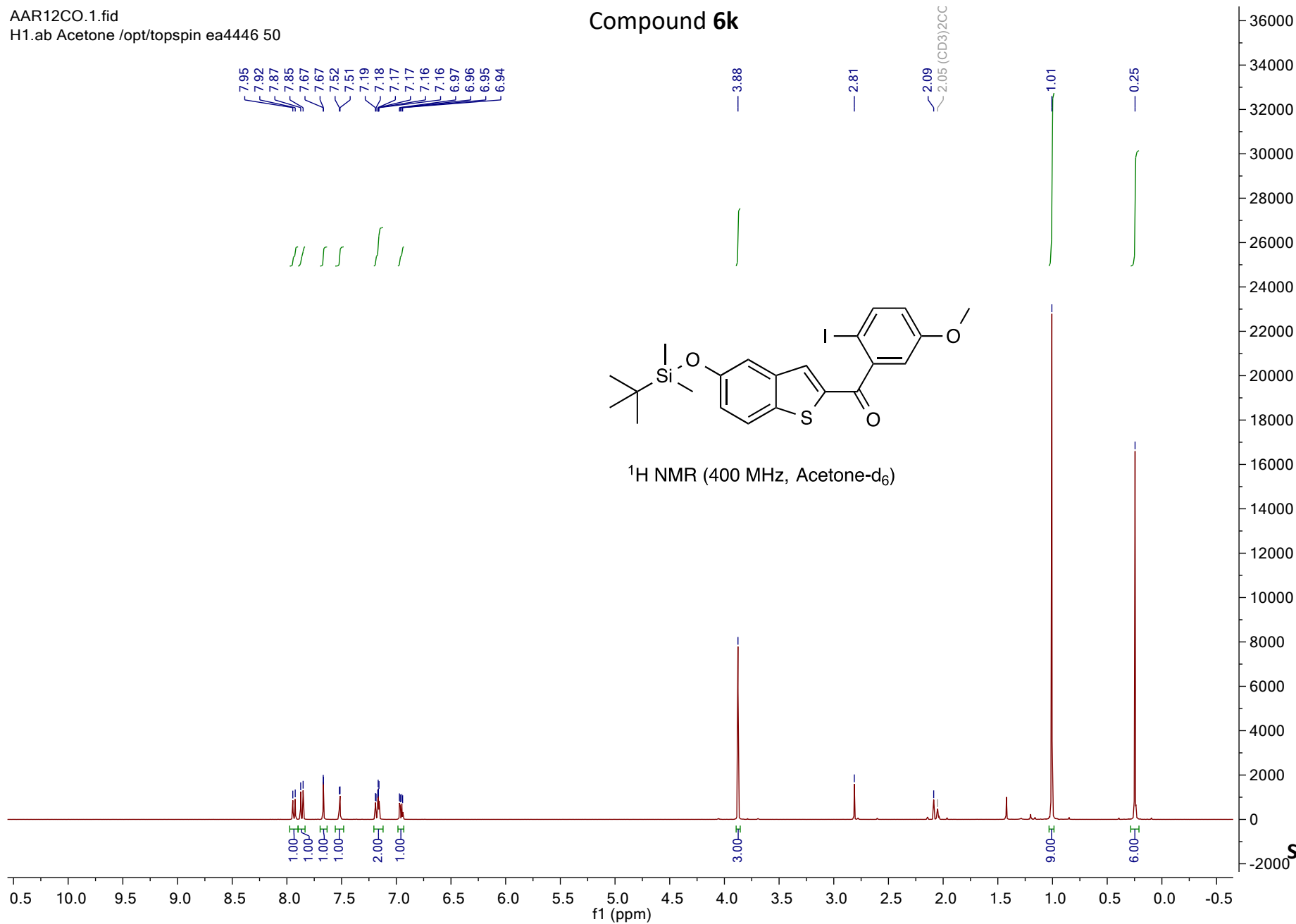


AAR12CO.1.fid  
H1.ab Acetone /opt/topspin ea4446 50

### Compound 6k



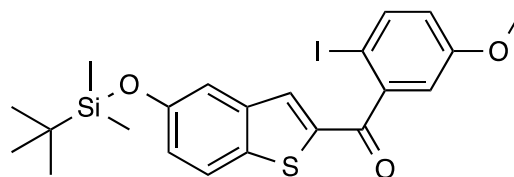
<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)



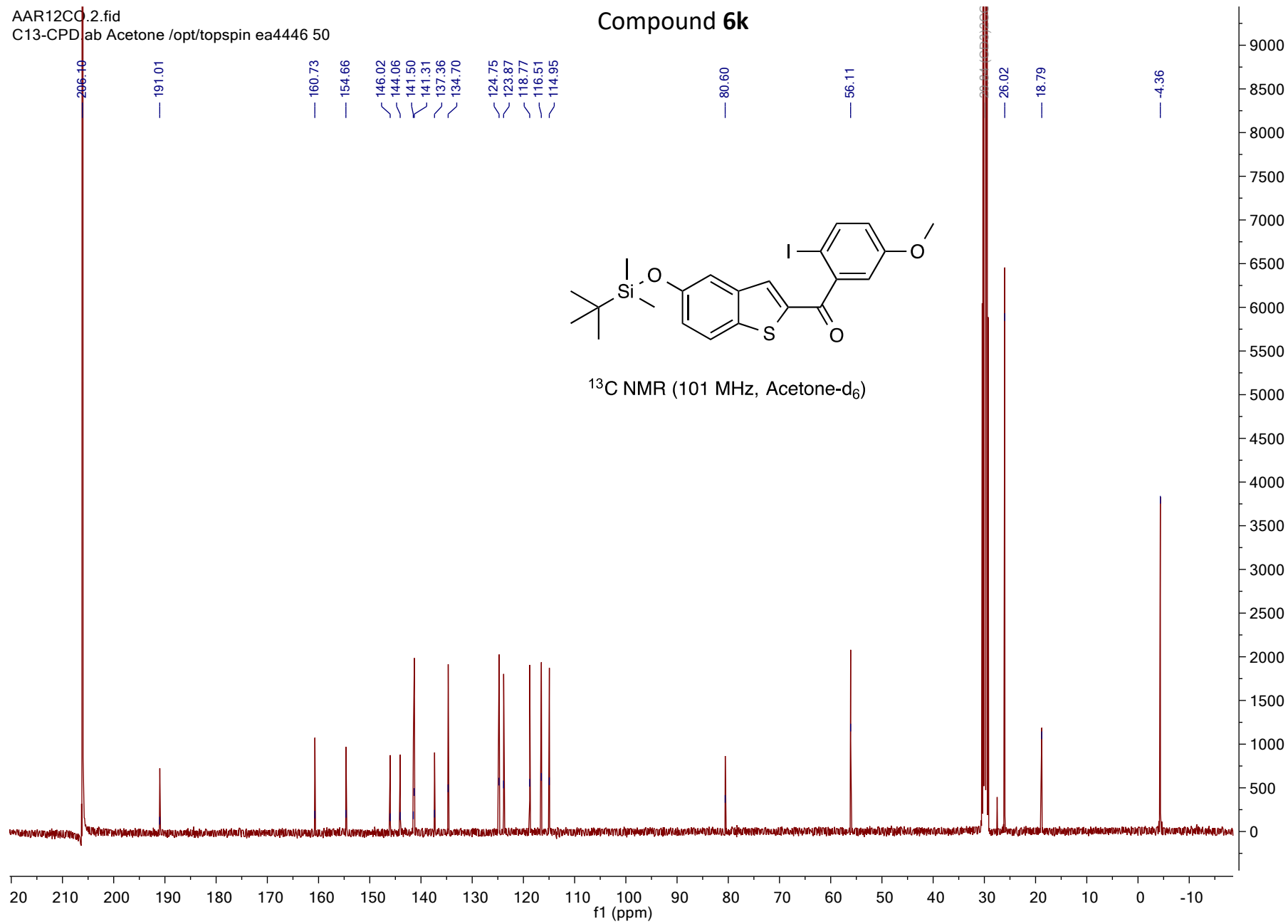


AAR12CQ.2.fid  
C13-CPD lab Acetone /opt/topspin ea4446 50

### Compound 6k

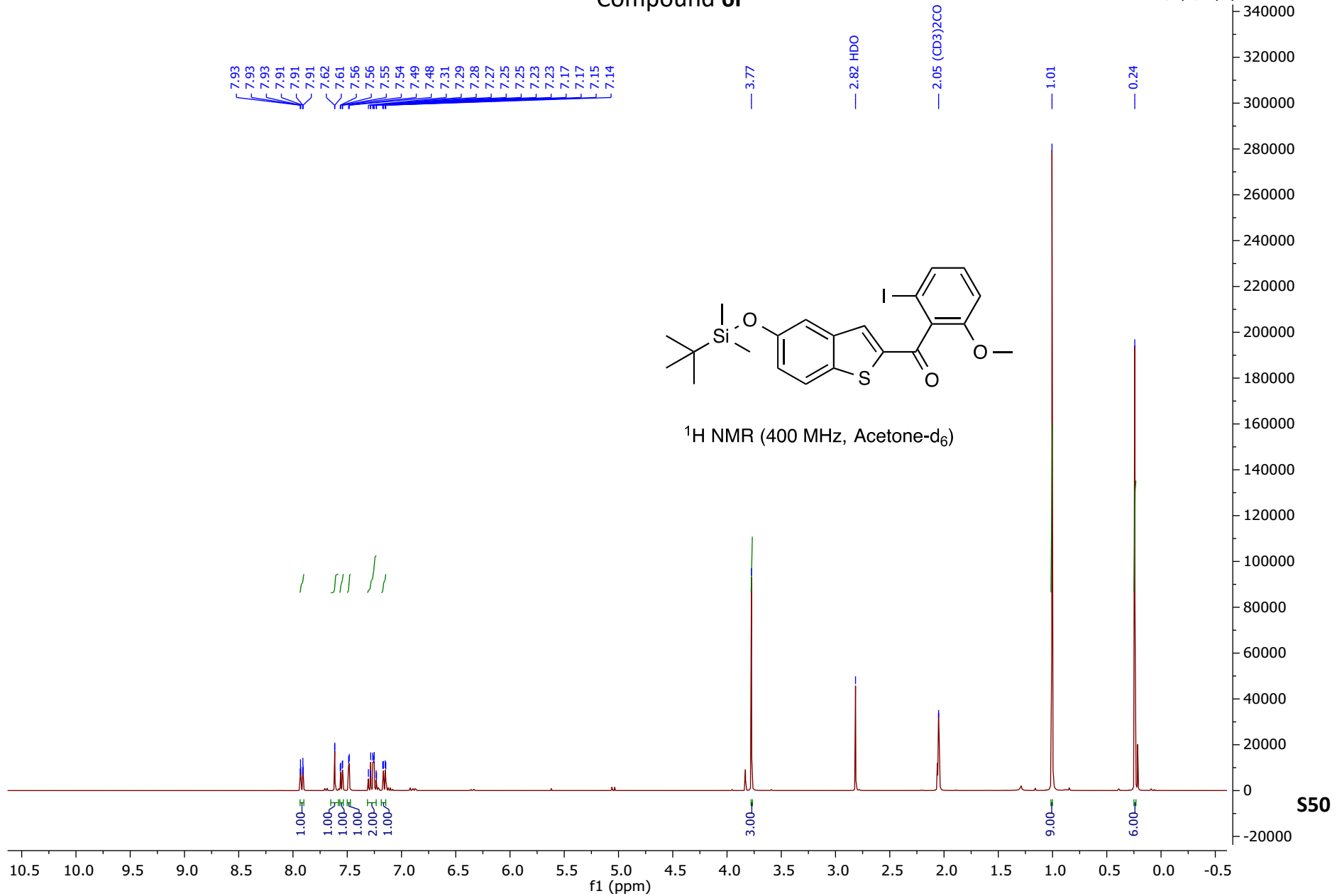


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



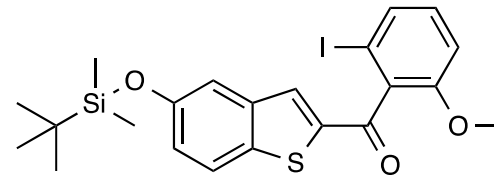
# Compound 6I

AAR14CO.100.fid — no\_title — 1H\_64 acetone /opt/topspin ea4446 :

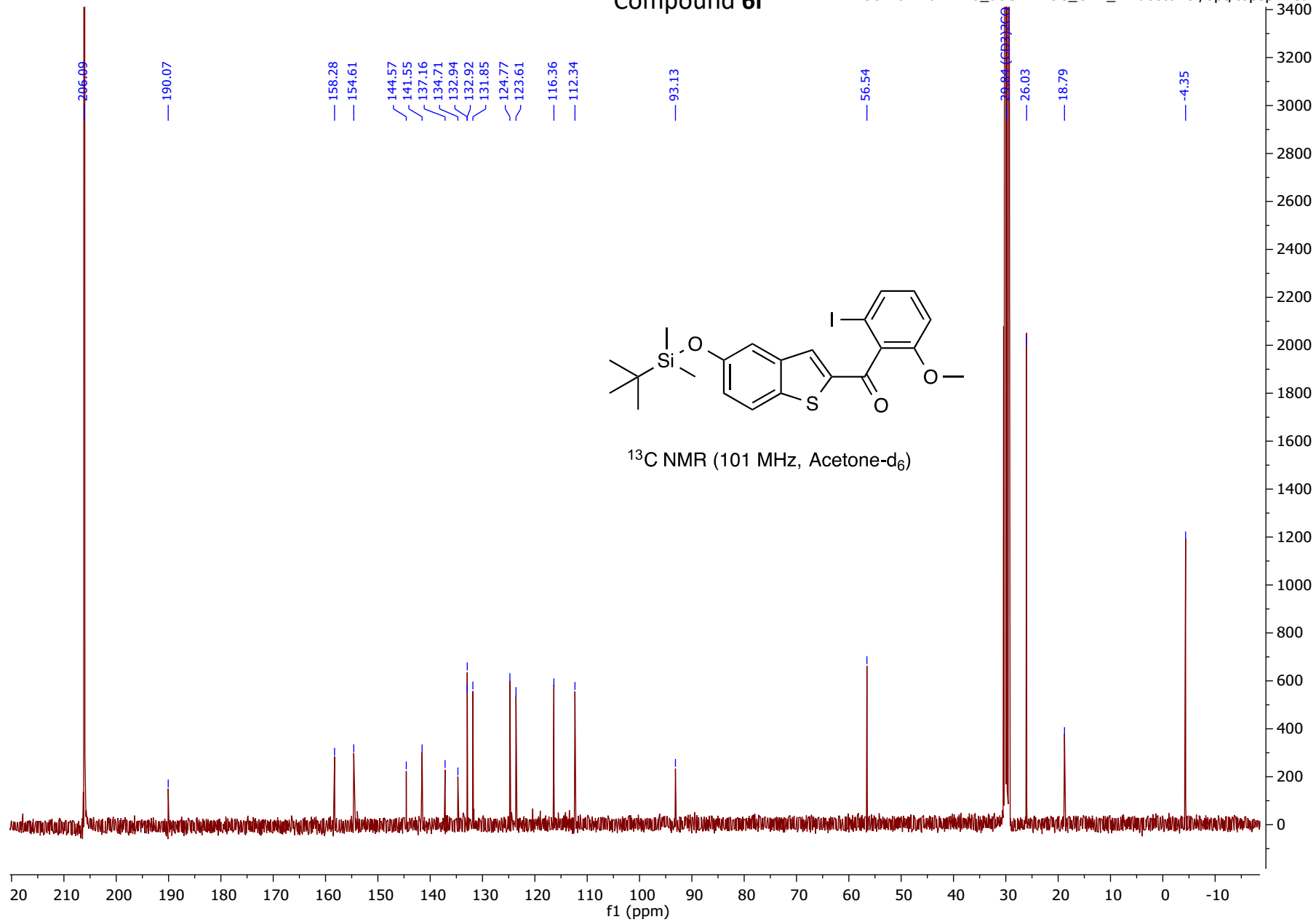


# Compound 6I

AAR14CO.101.fid — no\_title — 13C\_CPD\_1k acetone /opt/topspin ea4446

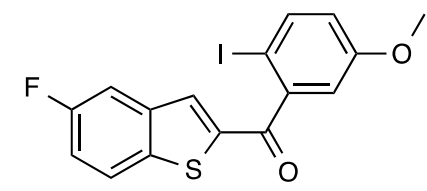
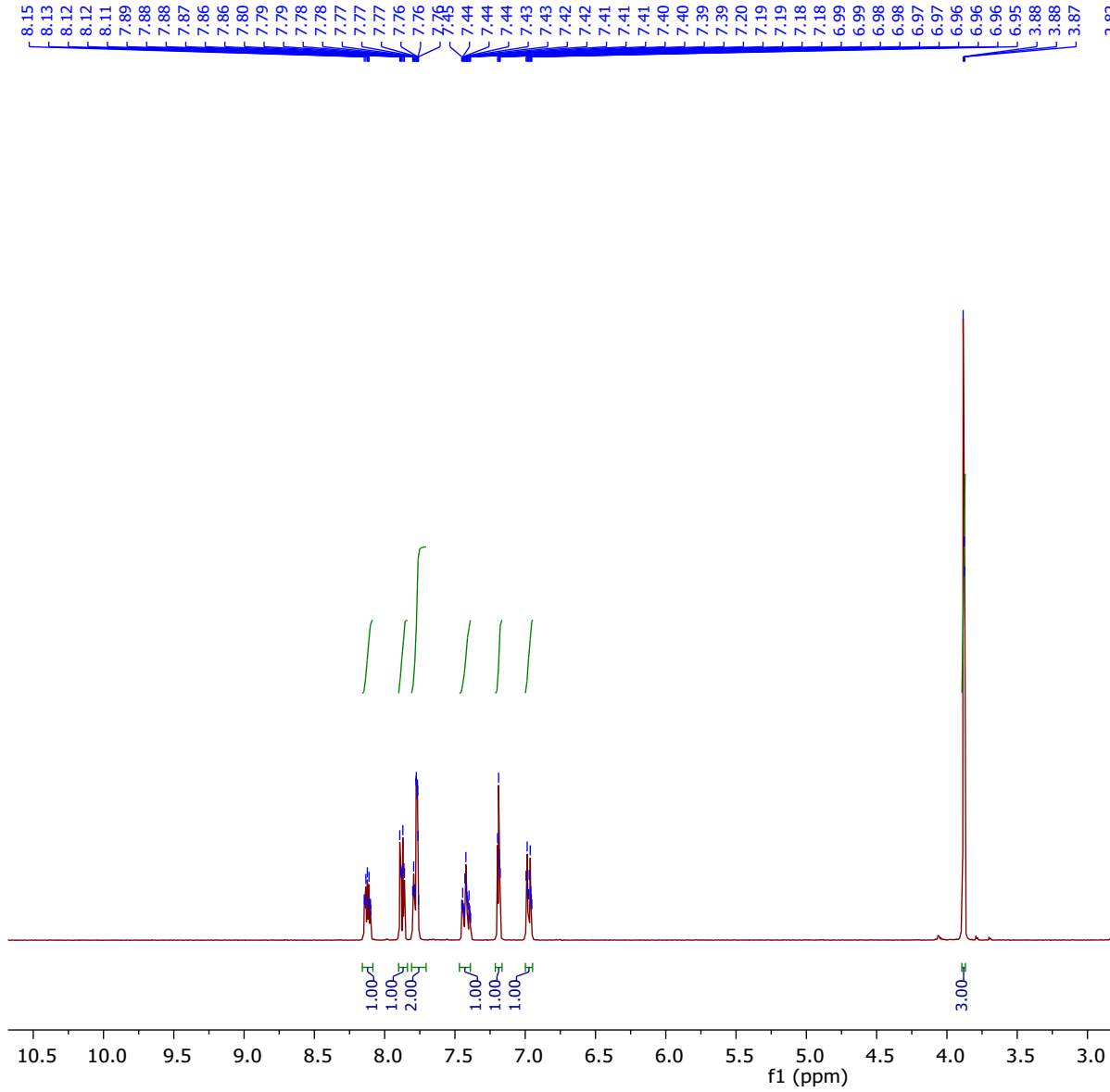


<sup>13</sup>C NMR (101 MHz, Acetone-d<sub>6</sub>)



# Compound 6m

AAR2CO.1.fid — H1.ab Acetone /opt/topspin ea4446

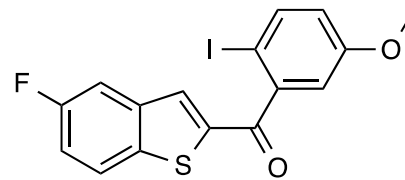


<sup>1</sup>H NMR (400 MHz, Acetone-d<sub>6</sub>)

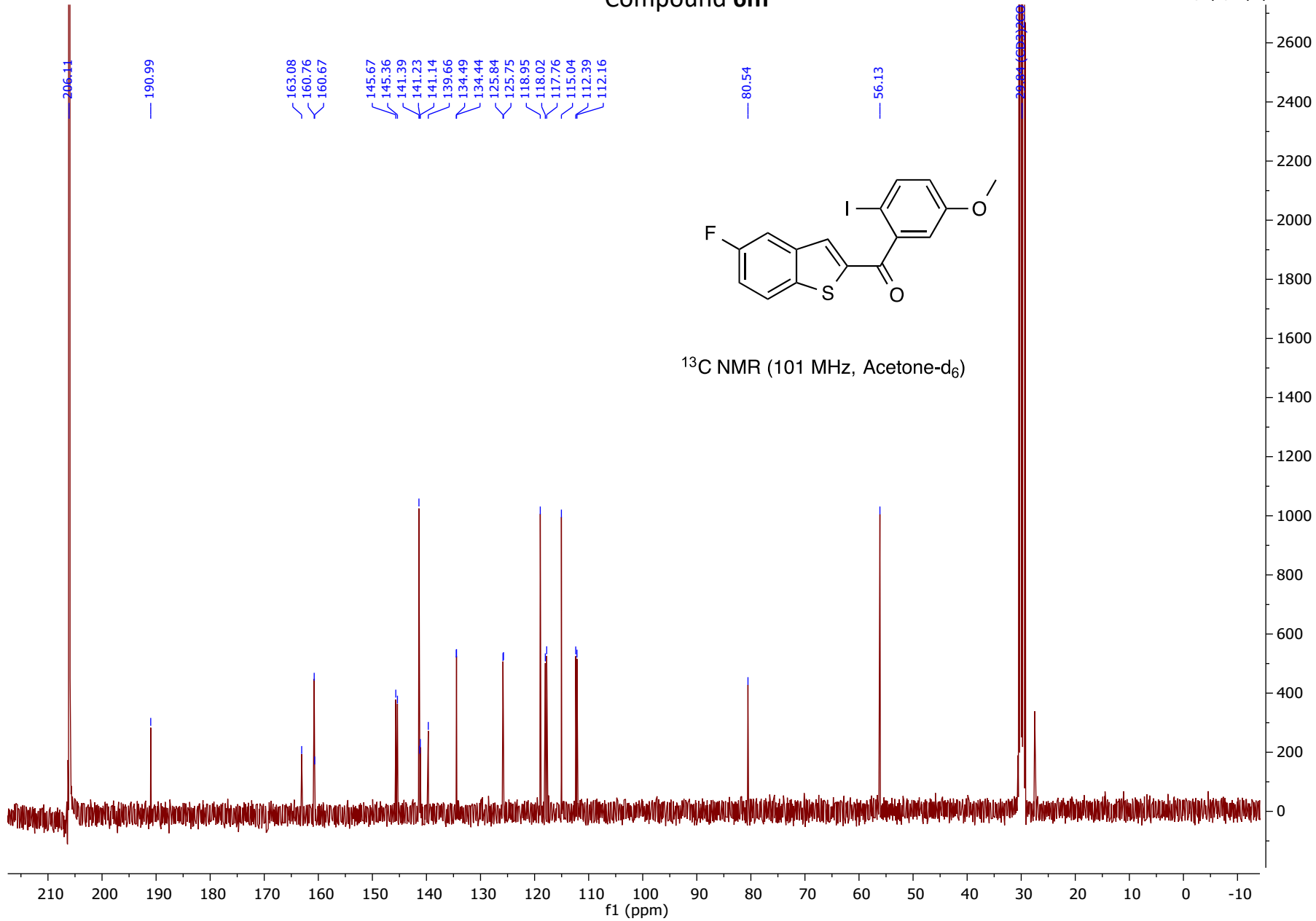
2.09 (CD<sub>3</sub>)<sub>2</sub>CO  
2.05 (CD<sub>3</sub>)<sub>2</sub>CO  
1.43

# Compound 6m

AAR2CO.2.fid — C13-CPD.ab Acetone /opt/topspin ea4446 !

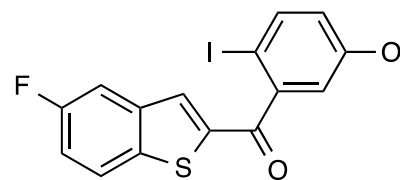


$^{13}\text{C}$  NMR (101 MHz, Acetone- $d_6$ )

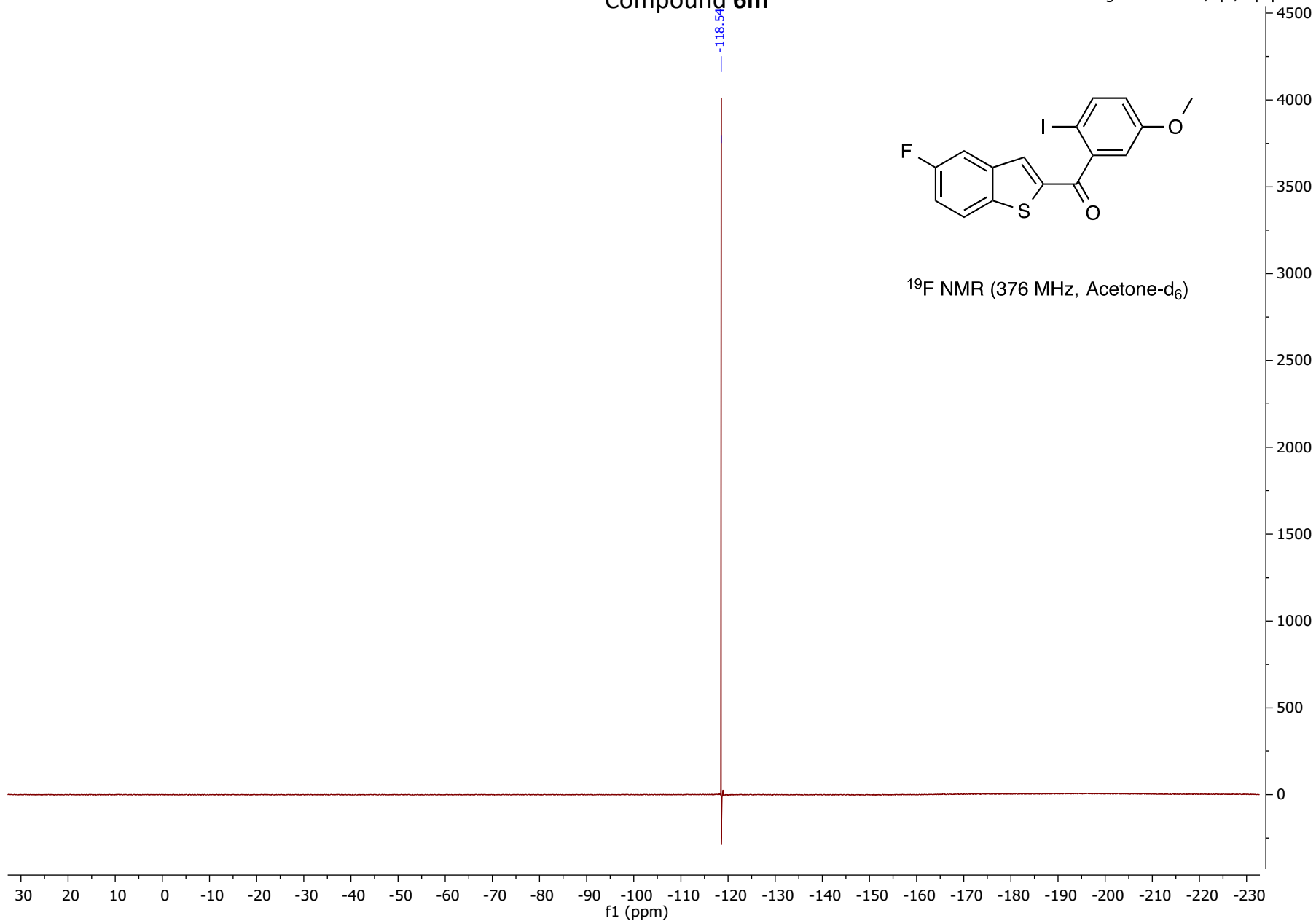


Compound 6m

AAR2CO.4.fid — F19CPD-zg.ab Acetone /opt/topspin ea4446

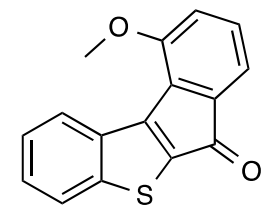


<sup>19</sup>F NMR (376 MHz, Acetone-d<sub>6</sub>)

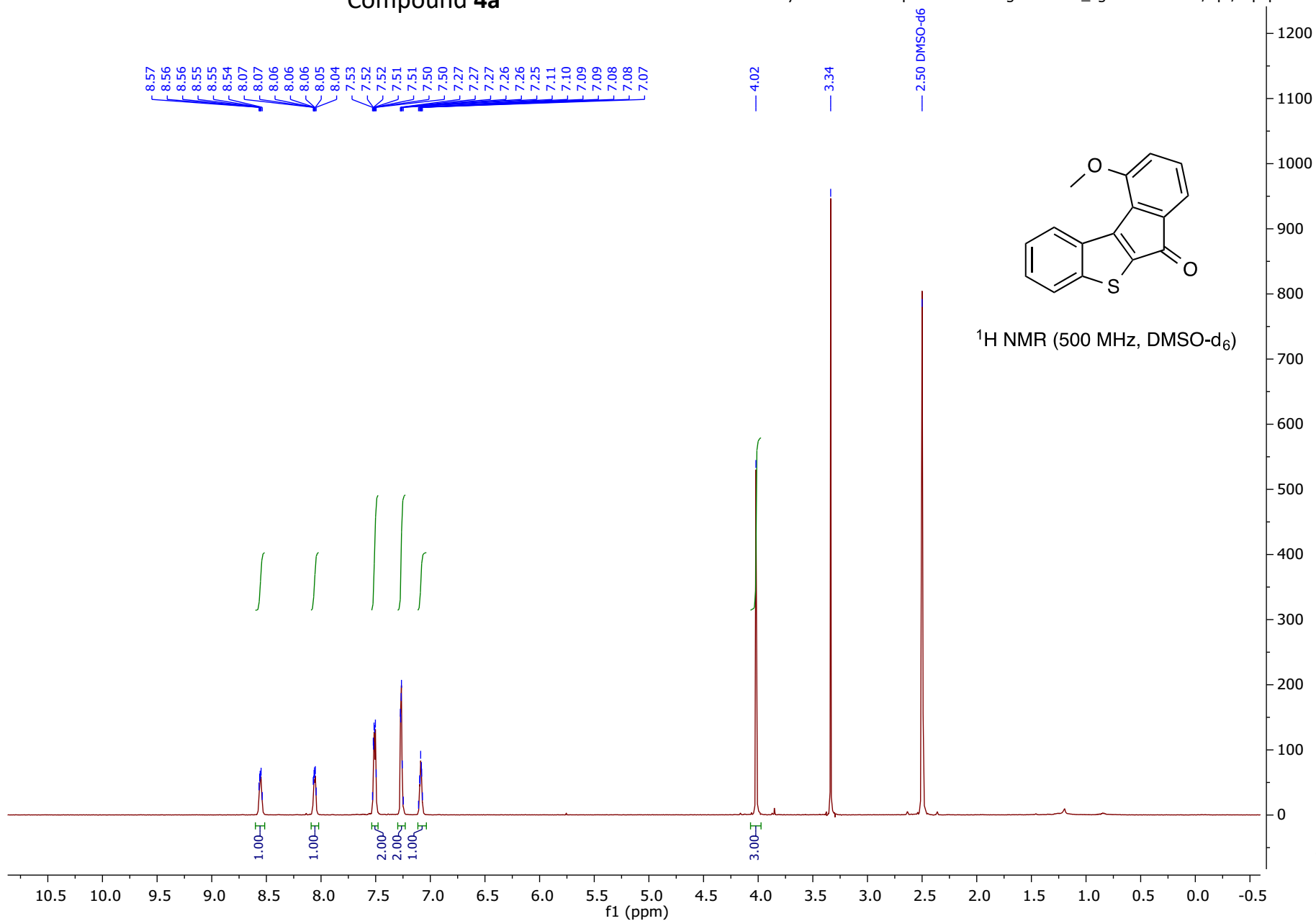


# Compound 4a

AAR3Cycle.103.fid — spectre a 25 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea4446

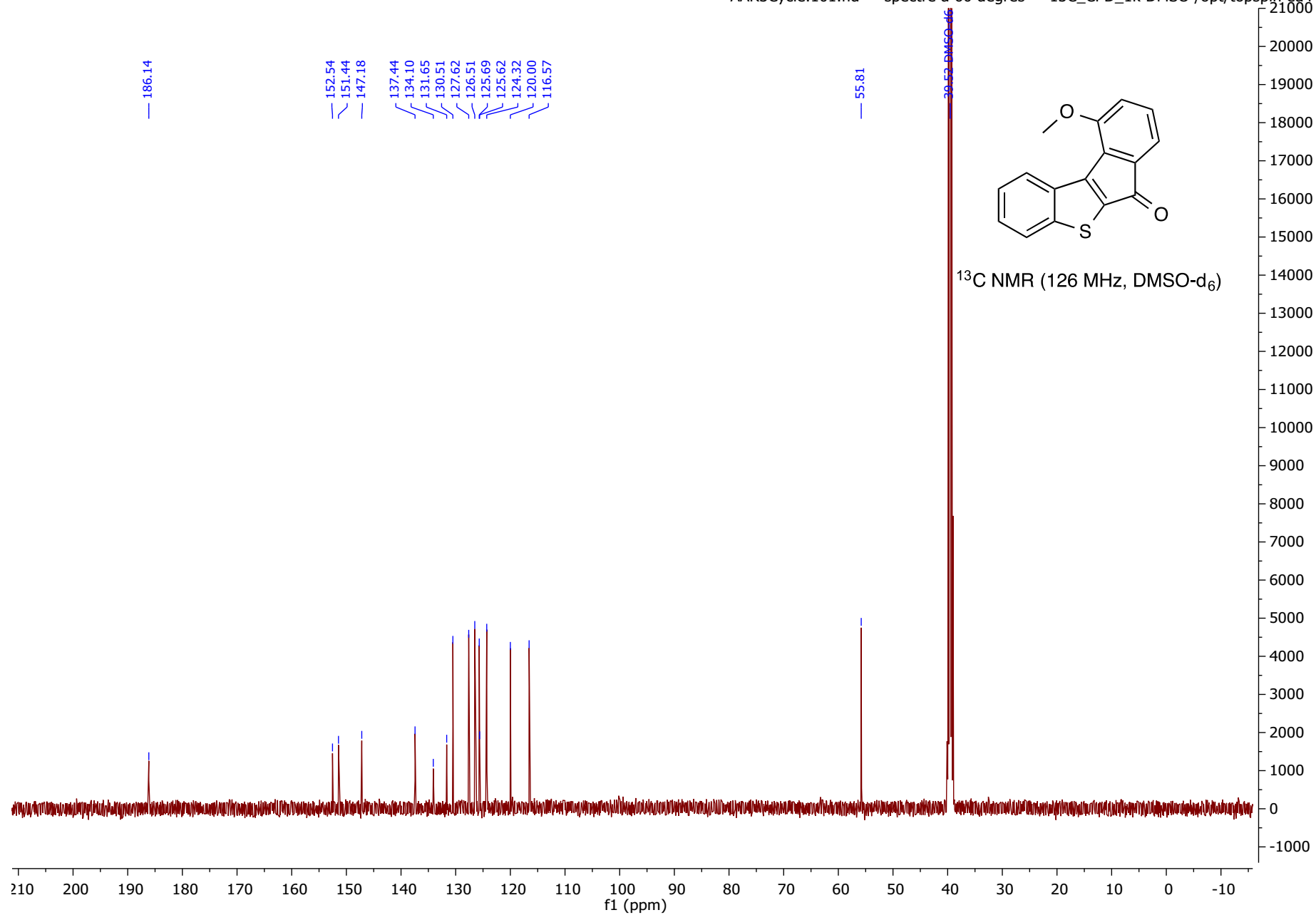


<sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)



# Compound 4a

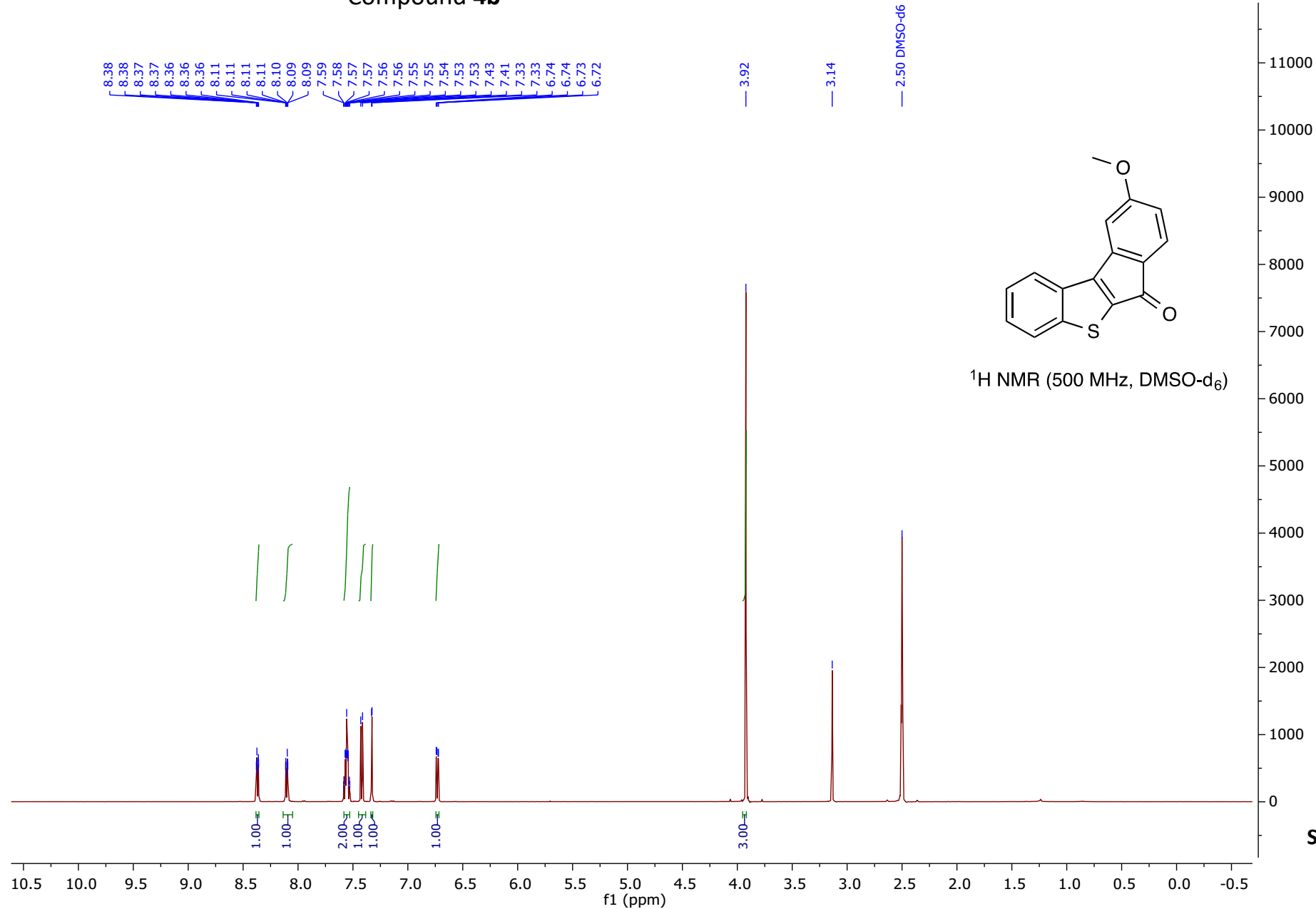
AAR3Cycle.101.fid — spectre a 60 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea4446





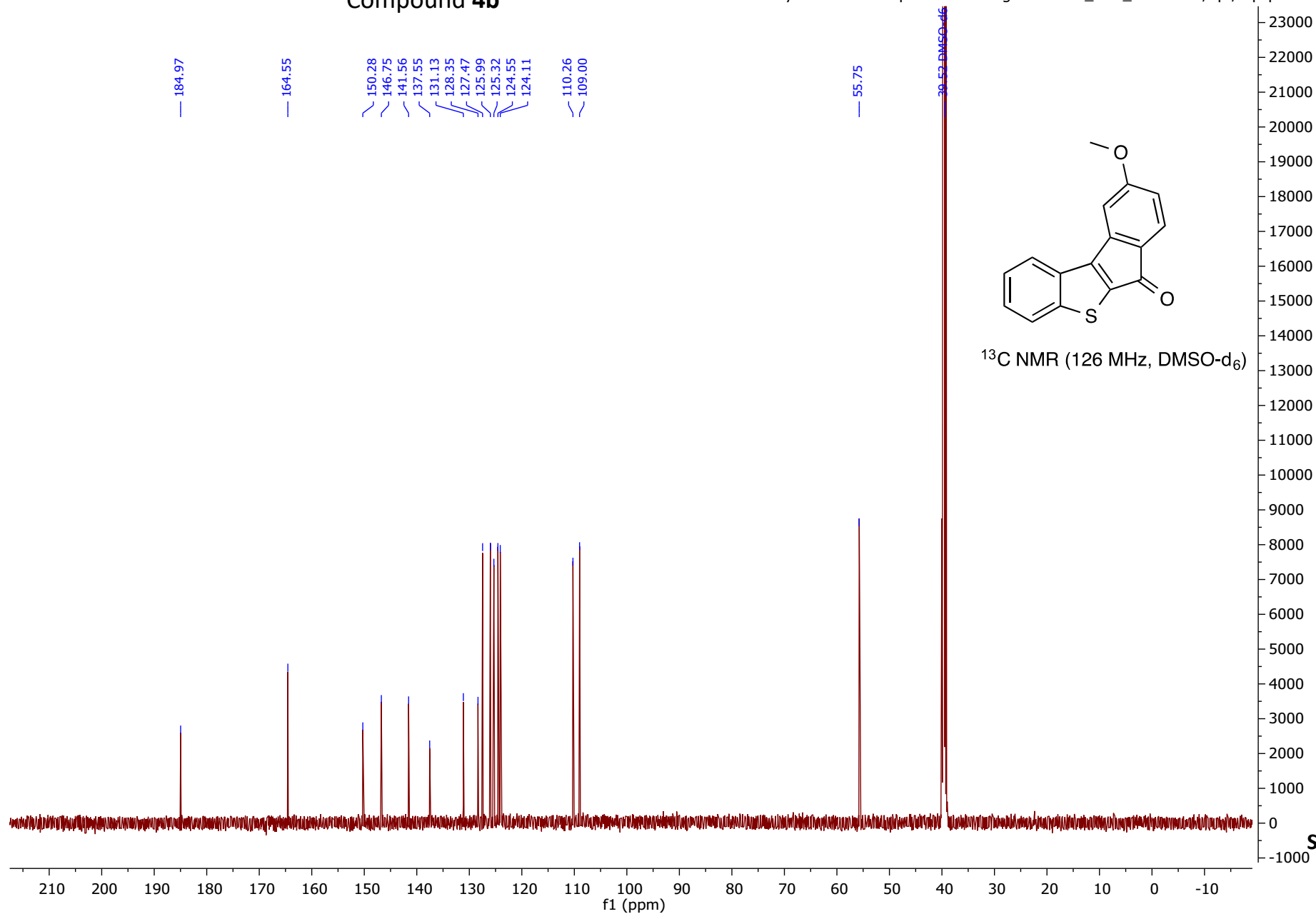
# Compound 4b

AAR4Cycle.100.fid — spectre a 60 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea444t



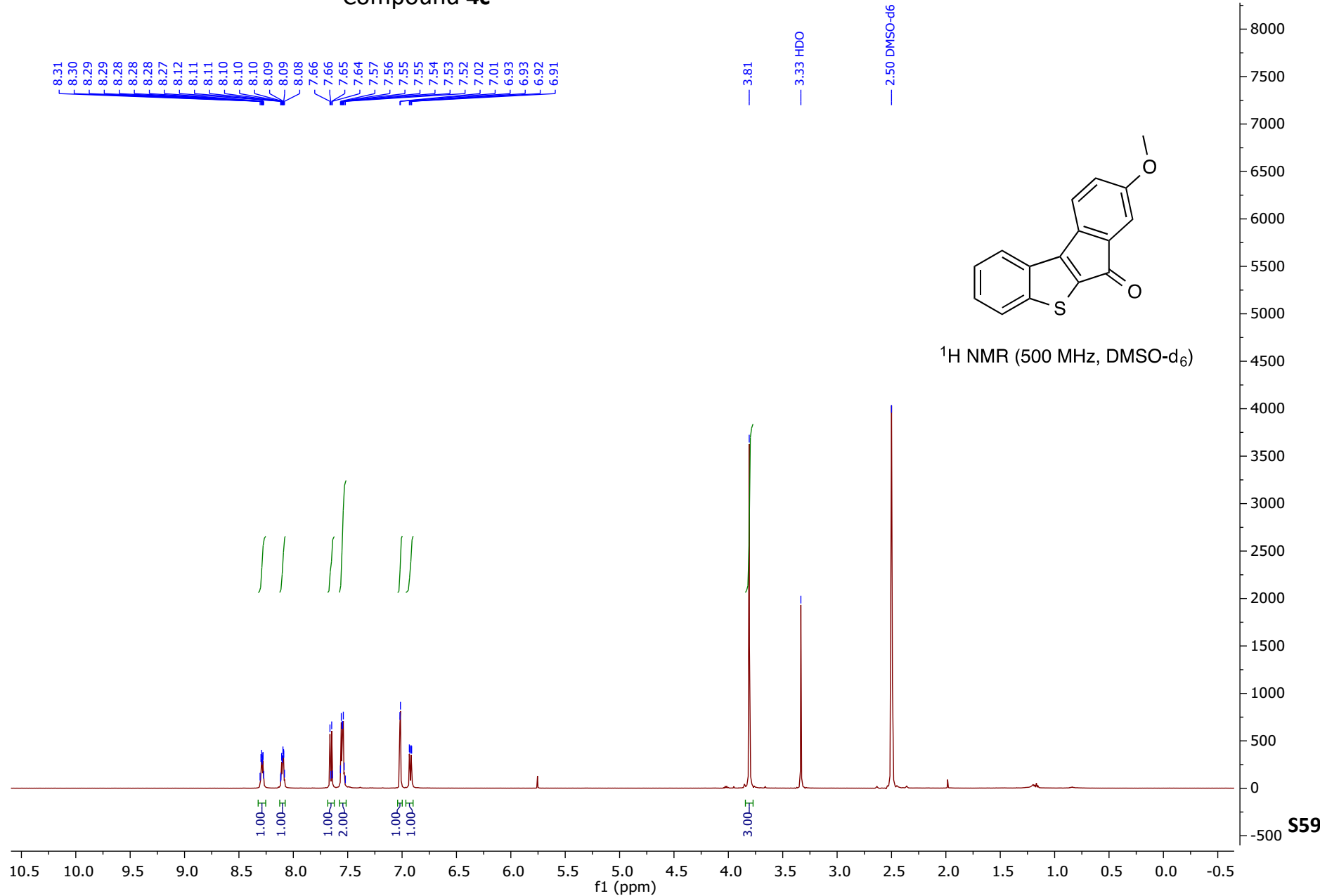
# Compound 4b

AAR4Cycle.101.fid — spectre a 60 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea444t



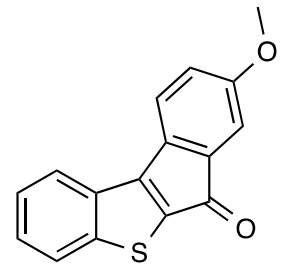
# Compound 4c

AAR5Cycle.1.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea4446

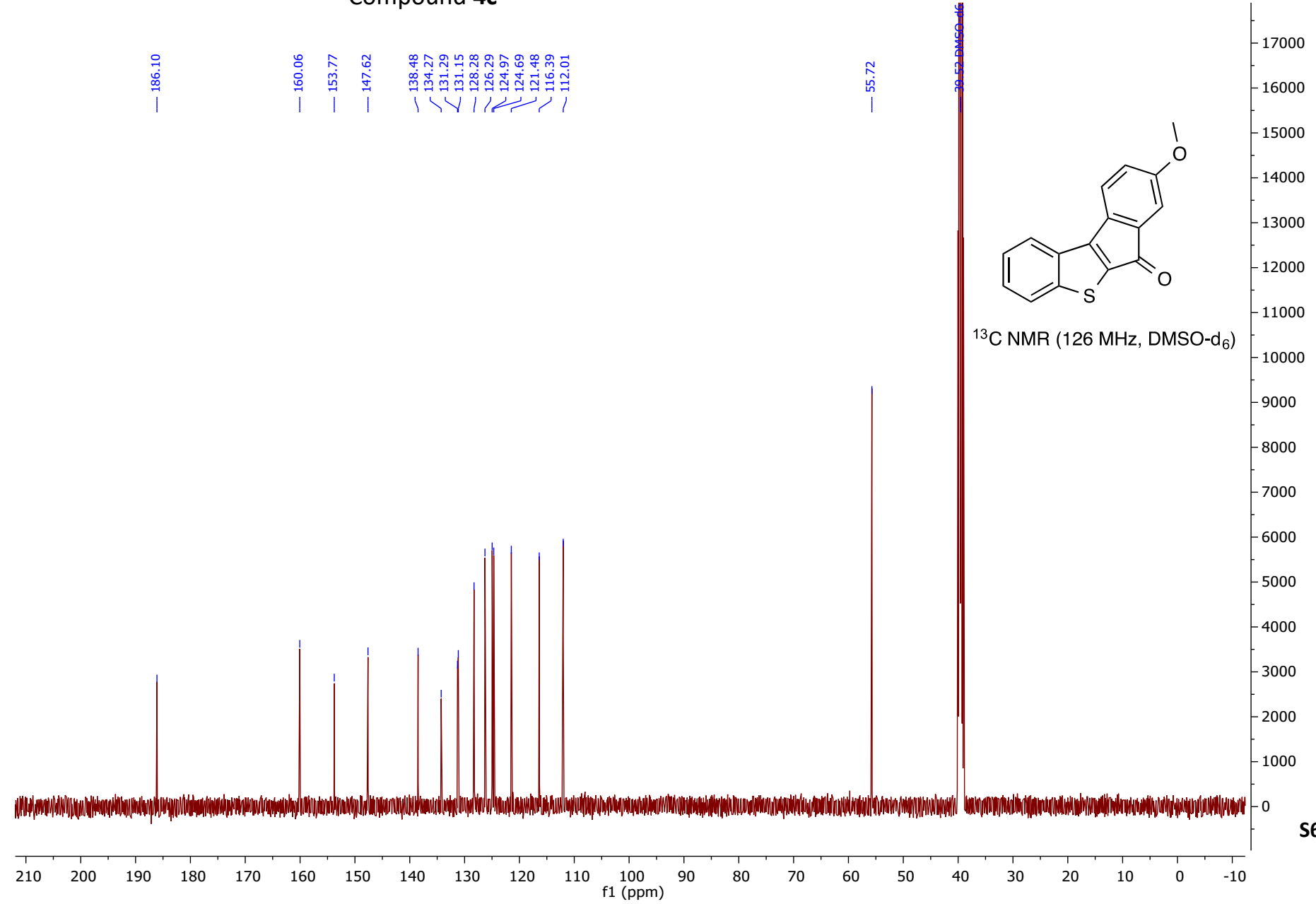


# Compound 4c

AAR5Cycle.2.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea4446

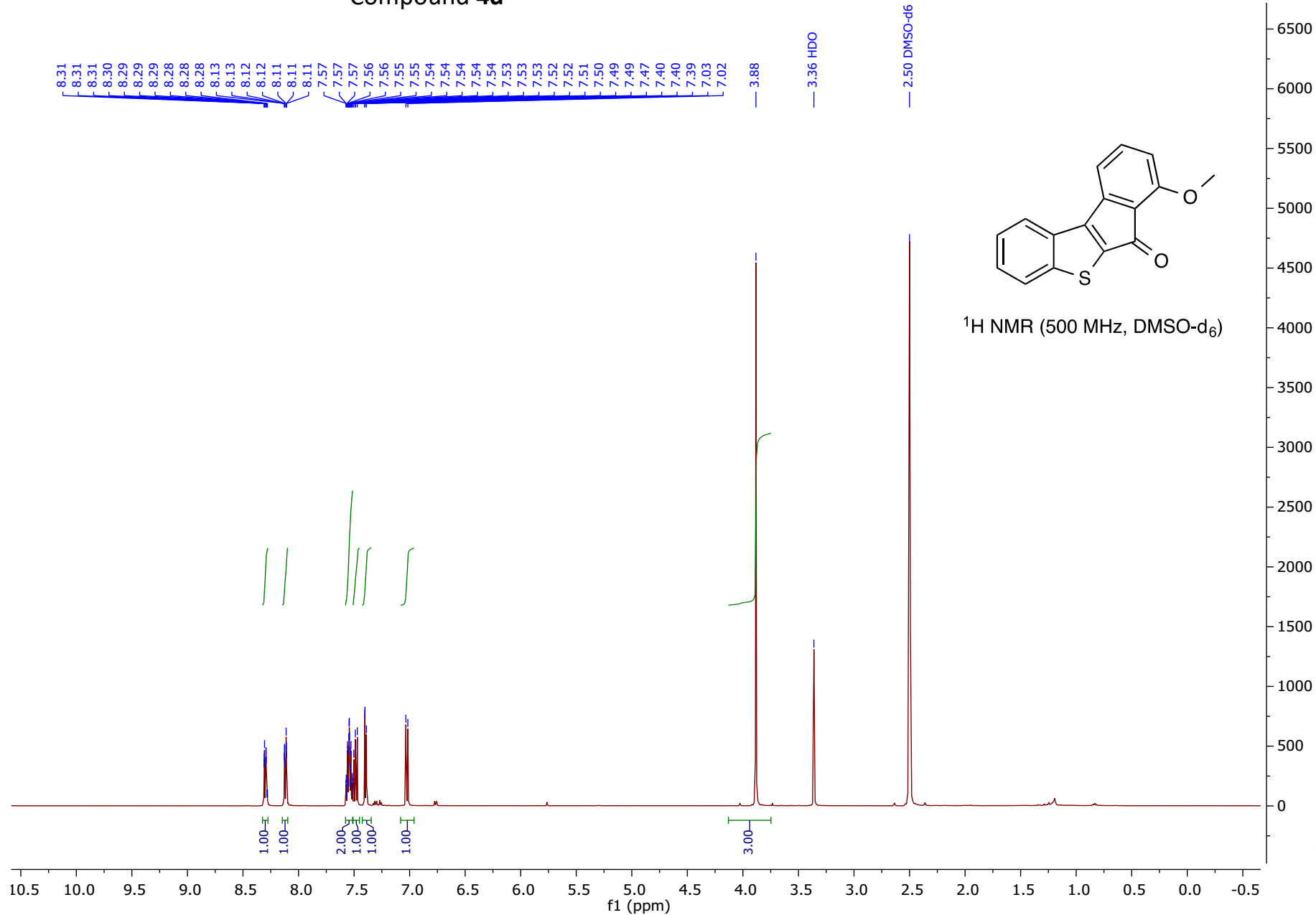


<sup>13</sup>C NMR (126 MHz, DMSO-d<sub>6</sub>)



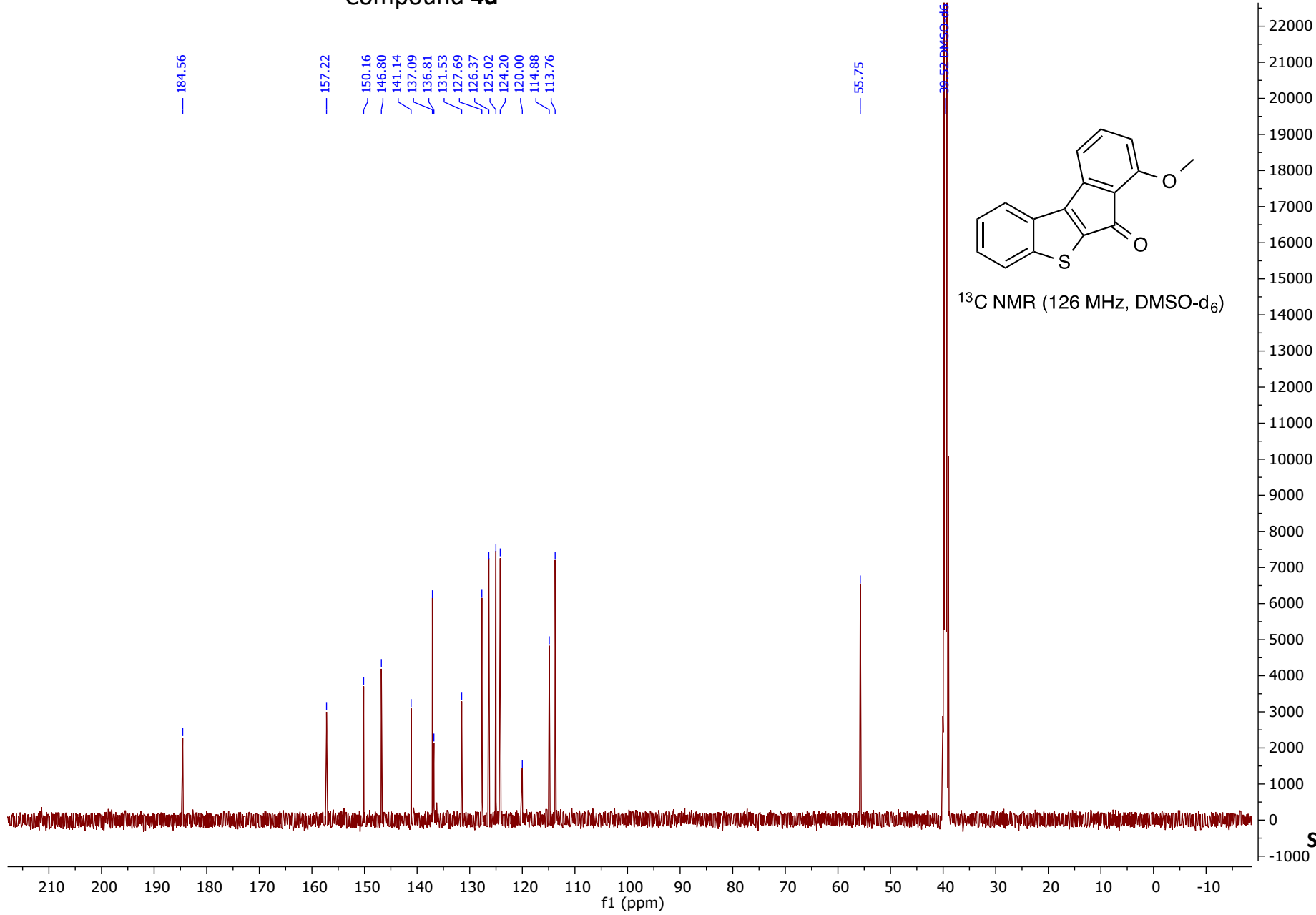
# Compound 4d

AAR6Cycle.4.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea4446



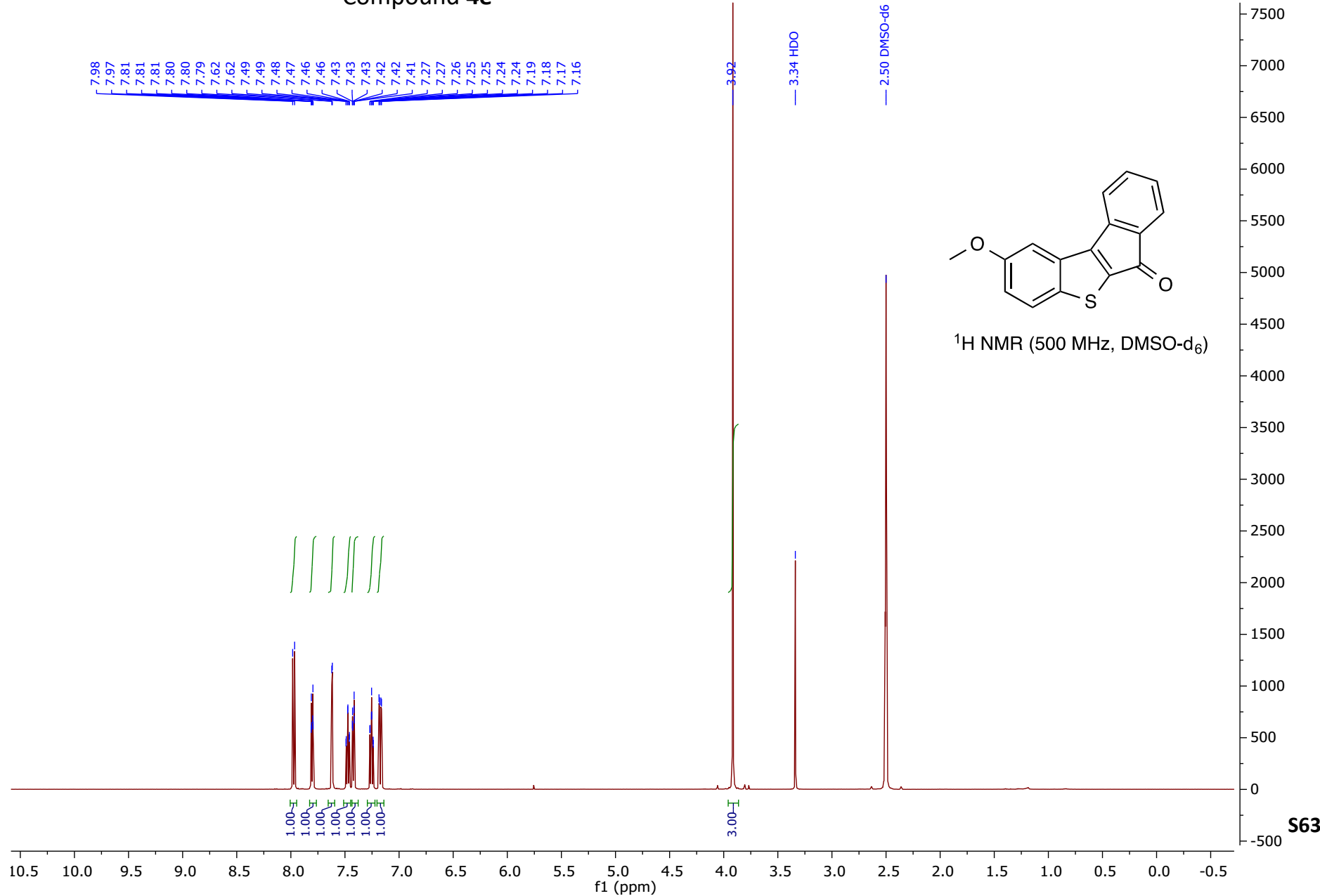
# Compound 4d

AAR6Cycle.5.fid — no\_title — 13C\_cpd.ab DMSO /opt/topspin ea4446



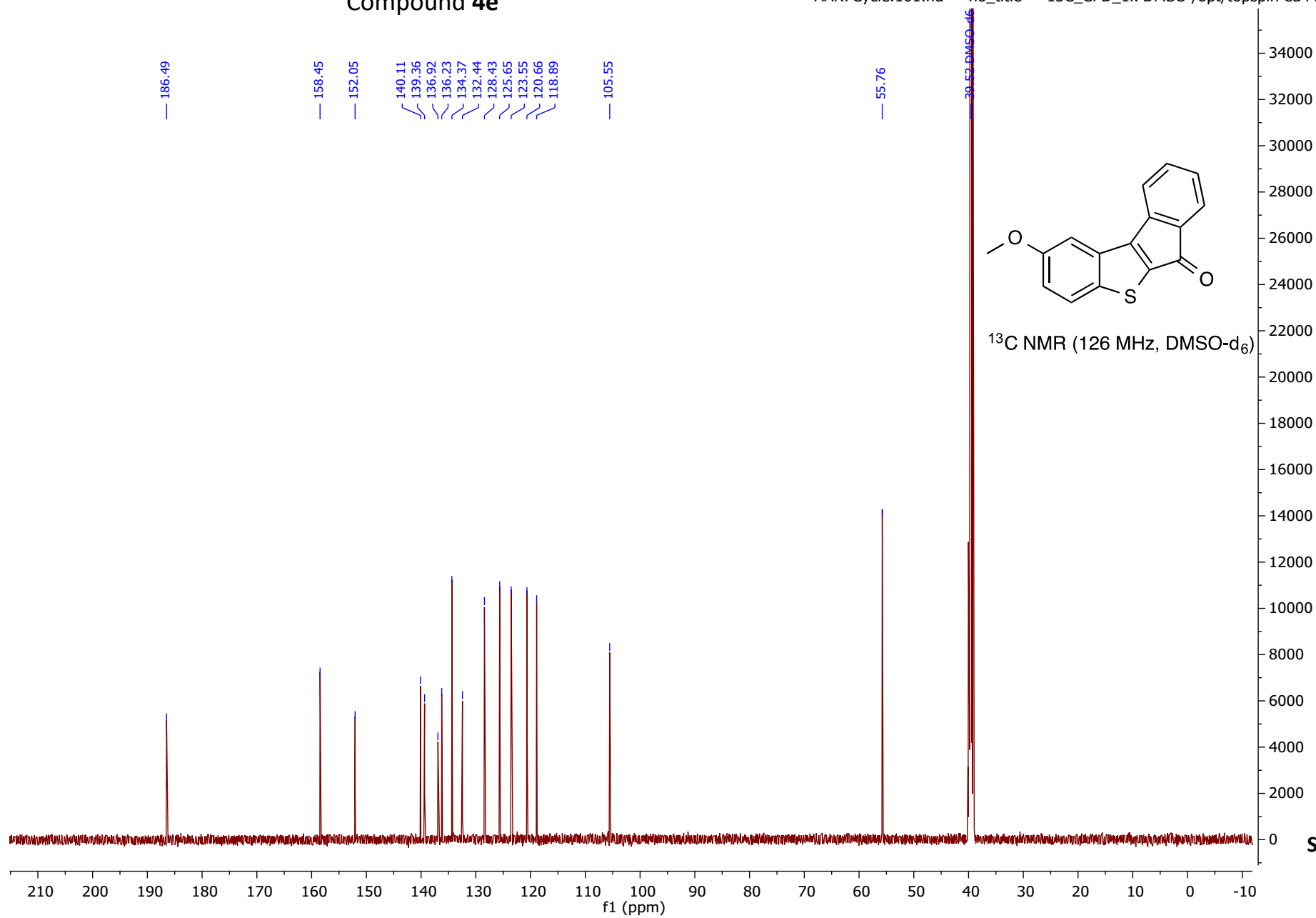
# Compound 4e

AAR7Cycle.100.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea4446



# Compound 4e

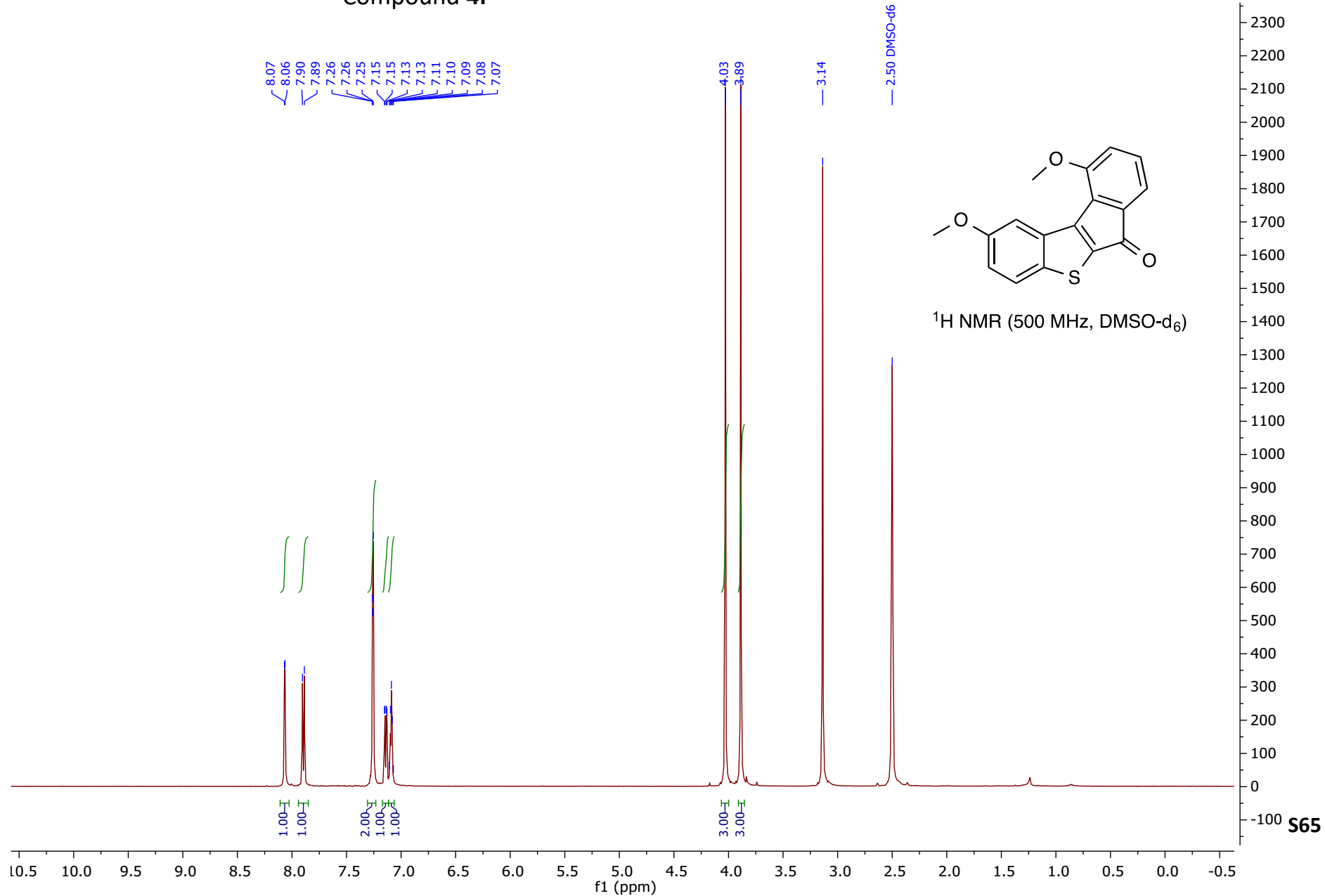
AAR7Cycle.101.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea4446





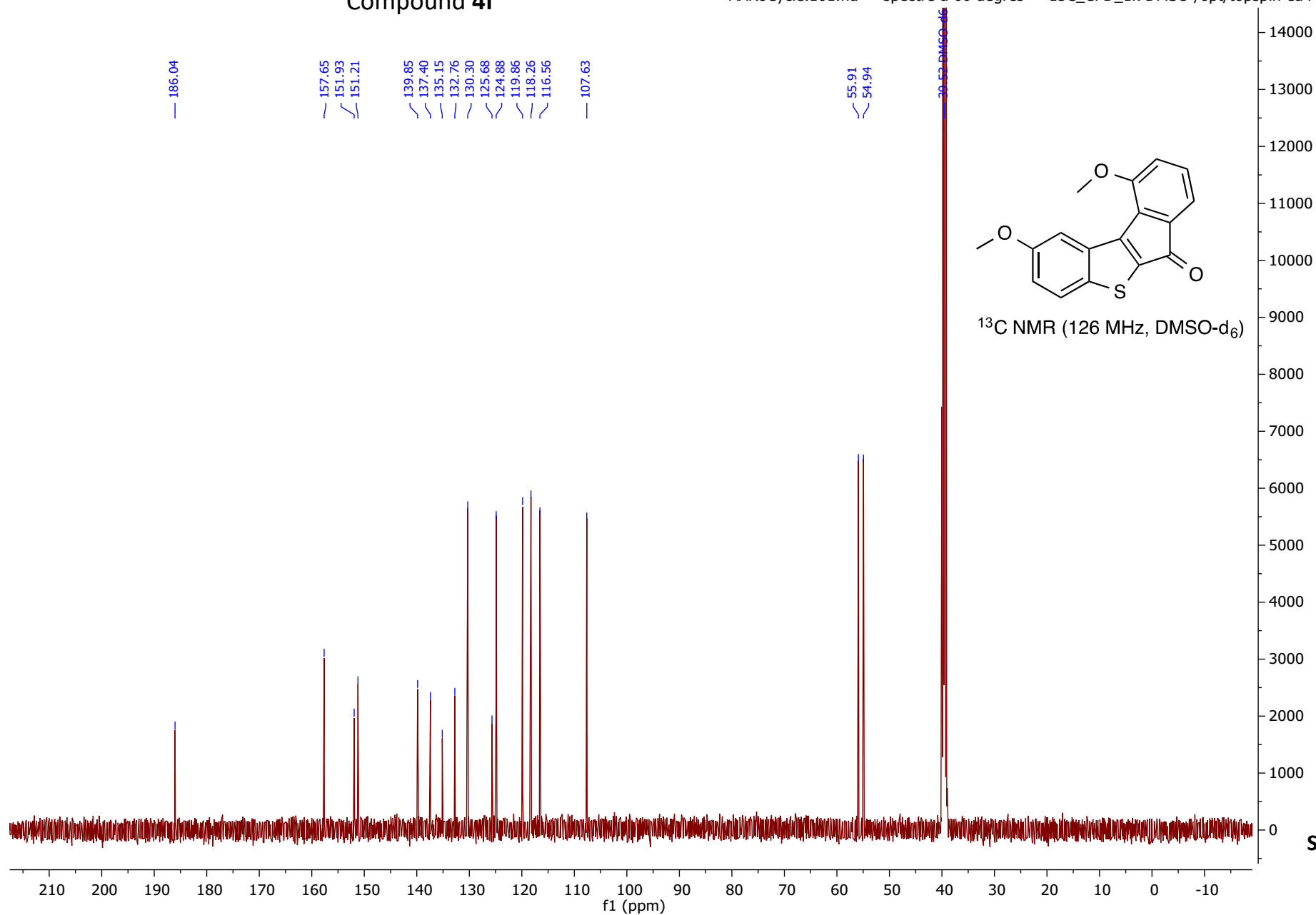
# Compound 4f

AAR8Cycle.100.fid — spectre a 60 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea4446



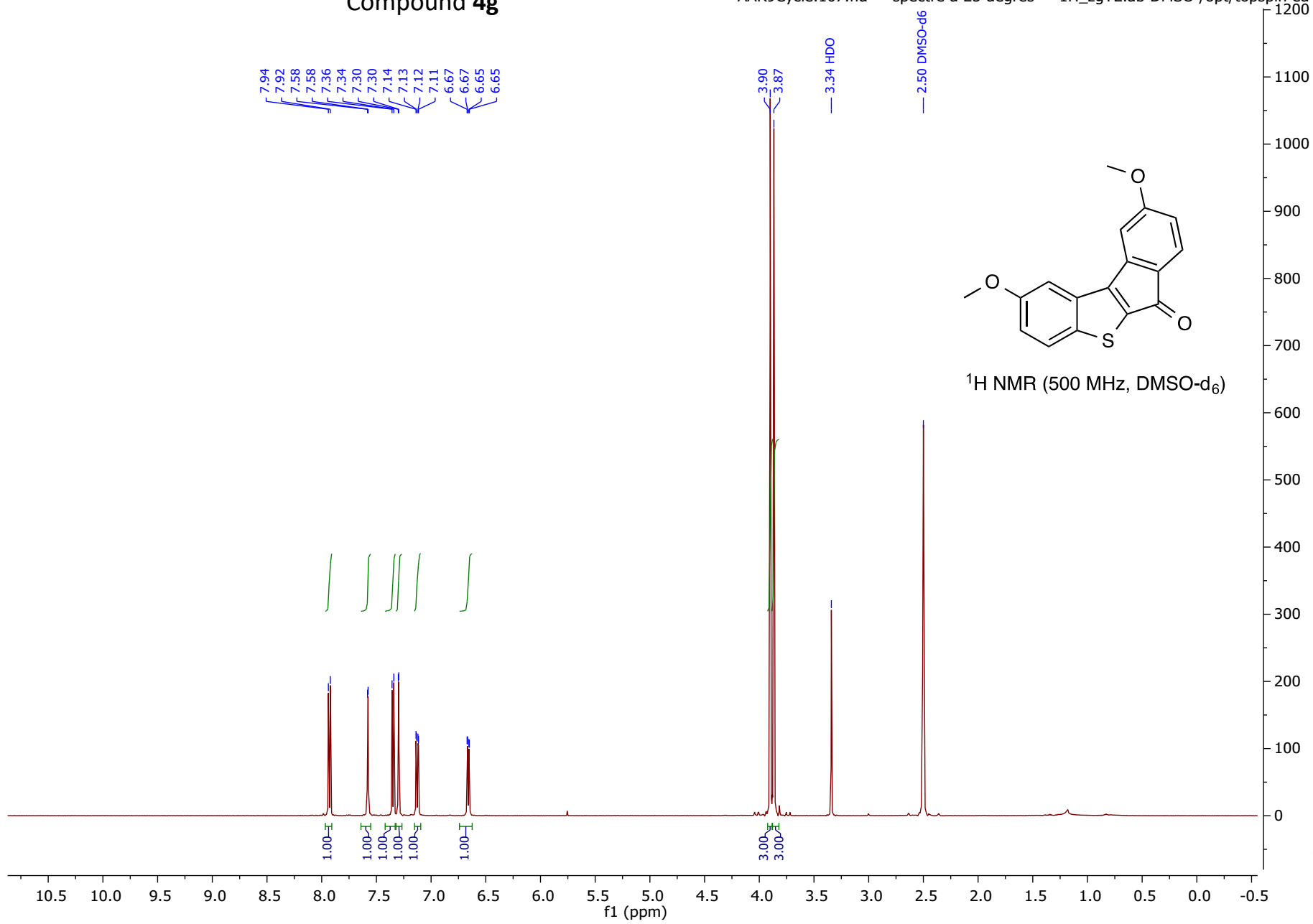
# Compound 4f

AAR8Cycle.101.fid — spectre a 60 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea4446



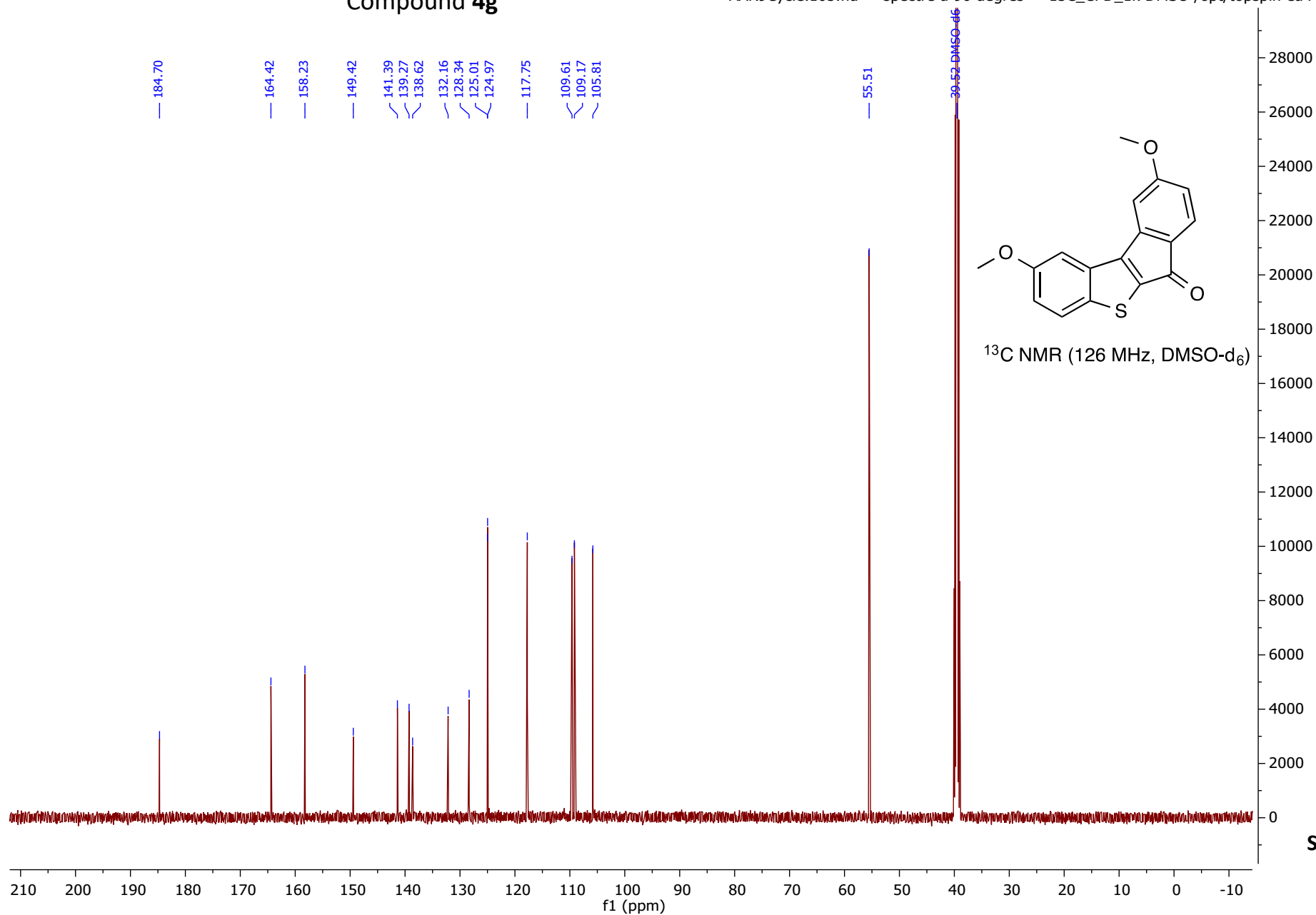
# Compound 4g

AAR9Cycle.107.fid — spectre a 25 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea4446



# Compound 4g

AAR9Cycle.105.fid — spectre a 90 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea4446

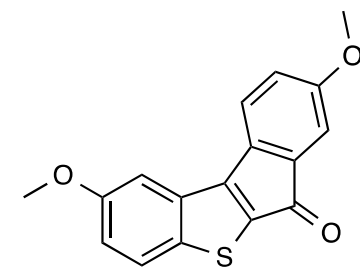


# Compound 4h

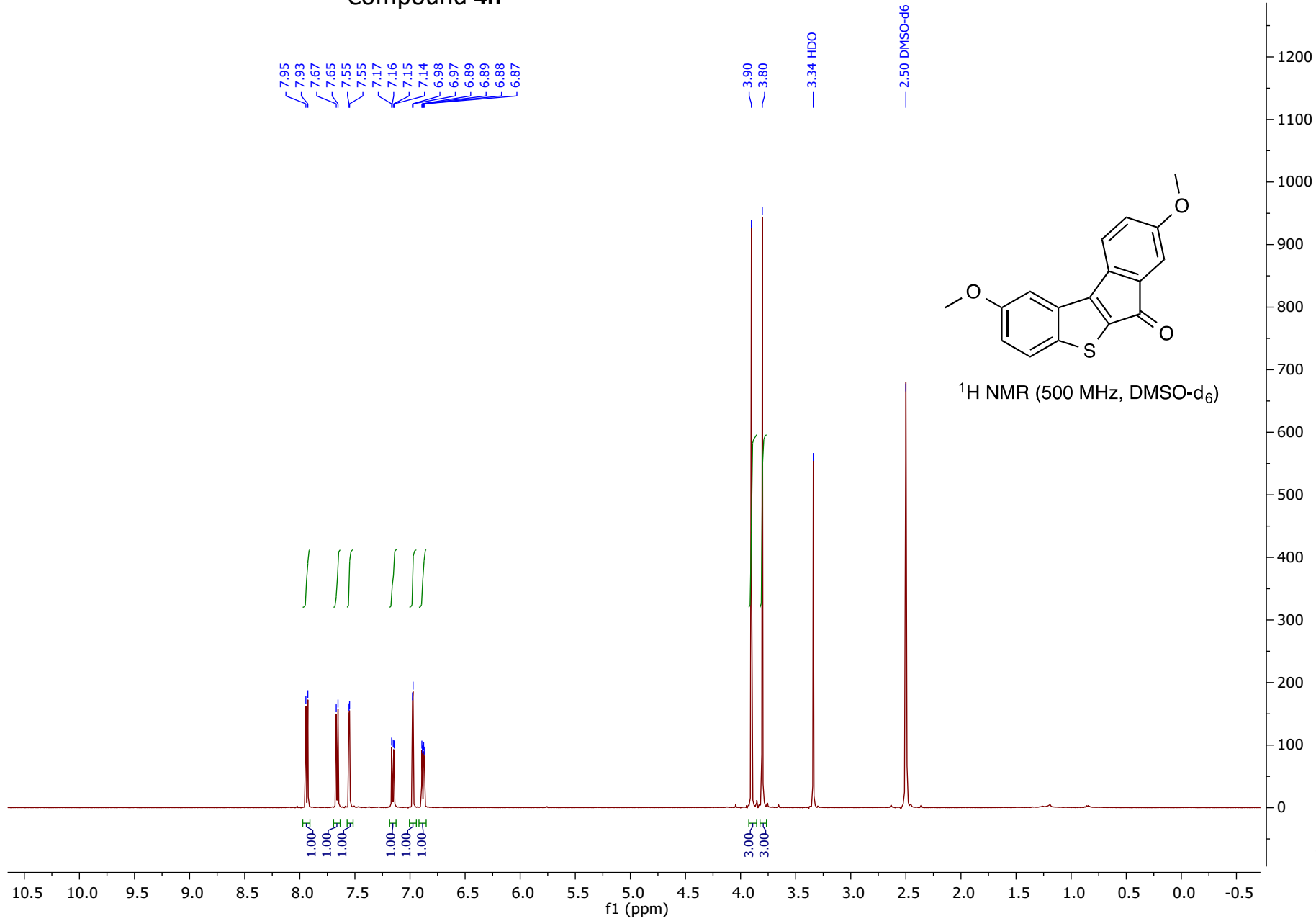
AAR10Cycle.106.fid — spectres a 25 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea444t

7.95  
7.93  
7.67  
7.65  
7.55  
7.55  
7.17  
7.16  
7.15  
7.14  
6.98  
6.97  
6.89  
6.89  
6.88  
6.87

3.90  
3.80  
3.34 H<sub>2</sub>O  
2.50 DMSO-d<sub>6</sub>

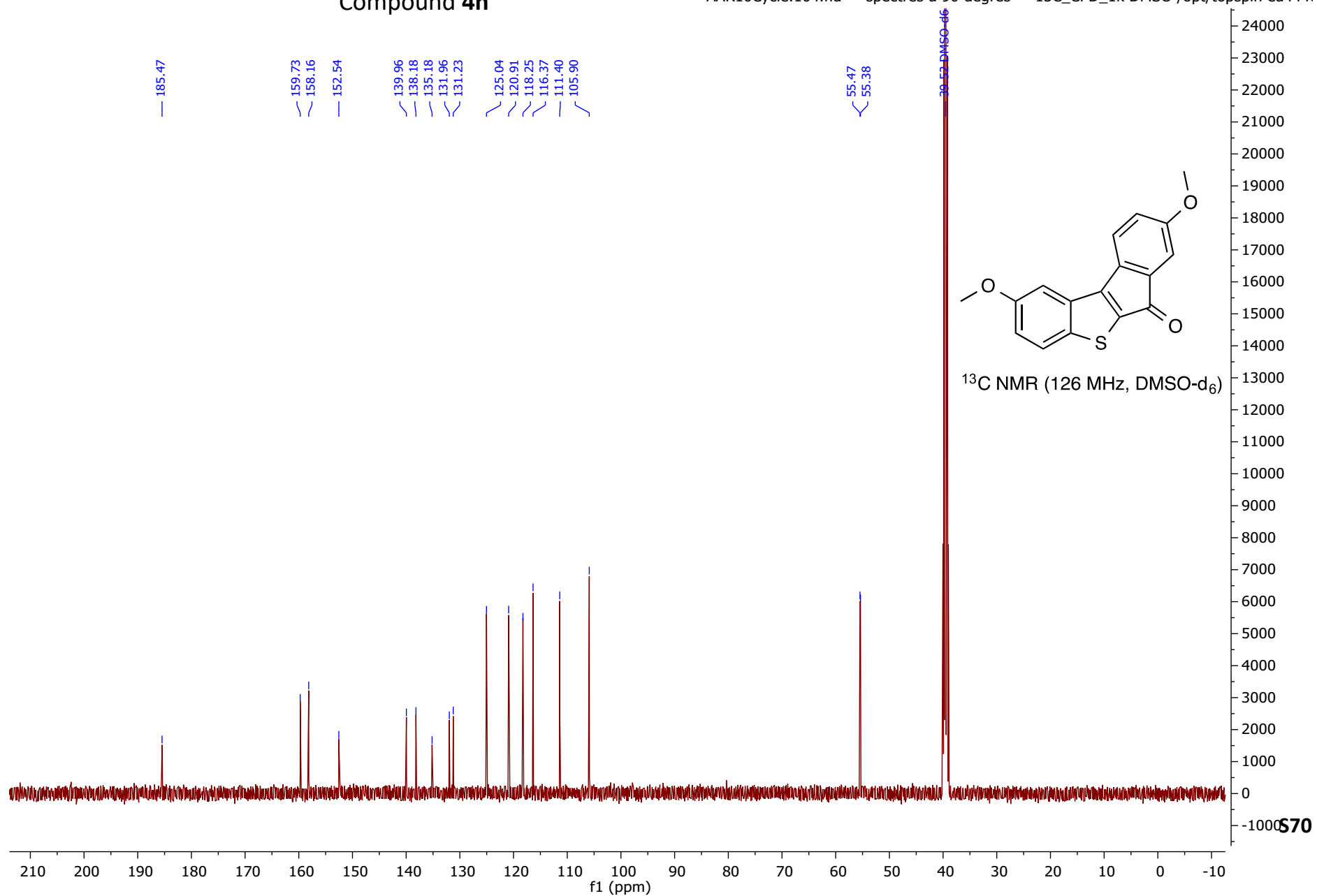


<sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)



# Compound 4h

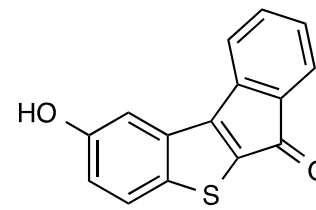
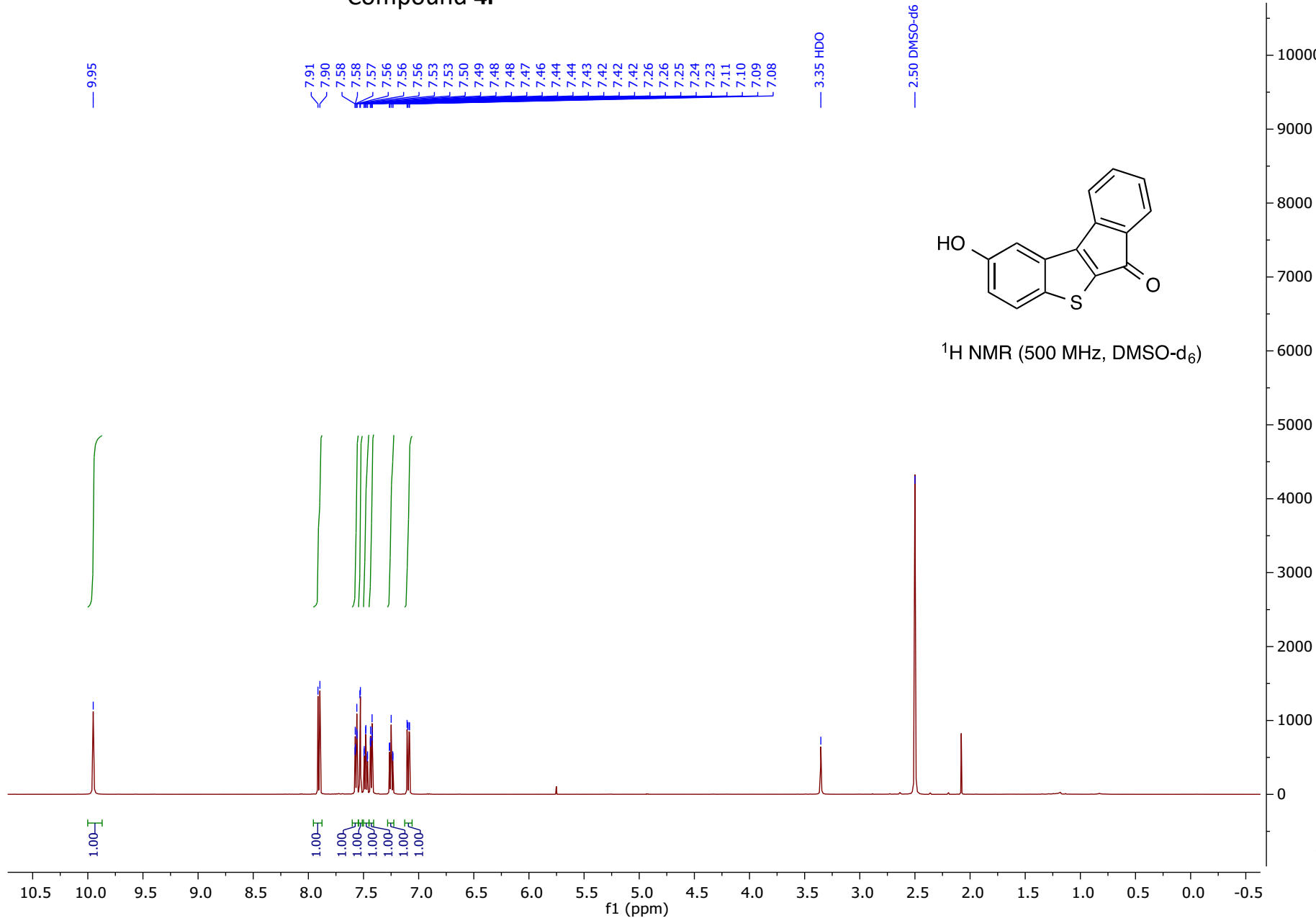
AAR10Cycle.104.fid — spectres a 90 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea444t



S70

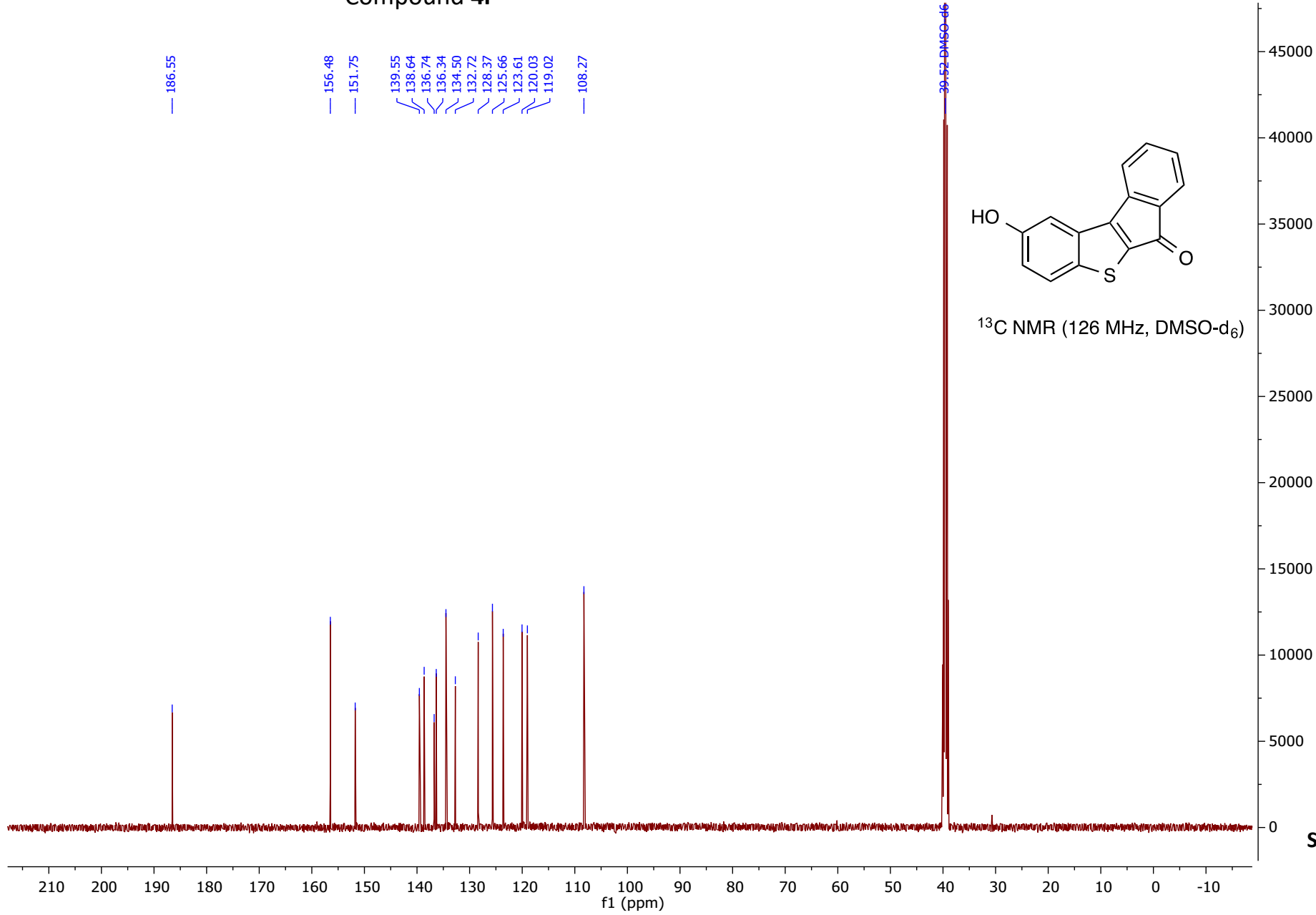
# Compound 4i

AAR11Cycle.100.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea444€



# Compound 4i

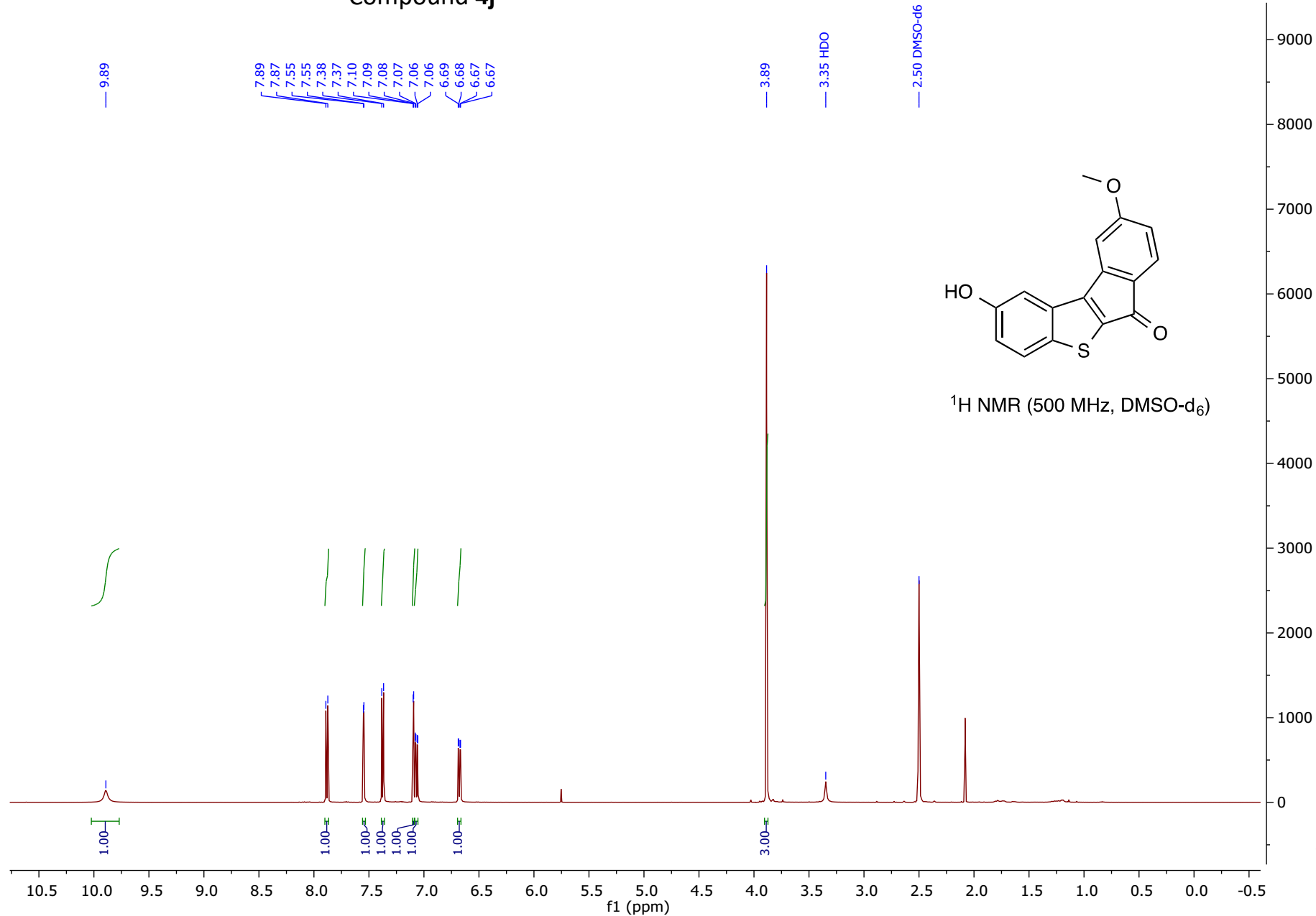
AAR11Cycle.101.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea444€





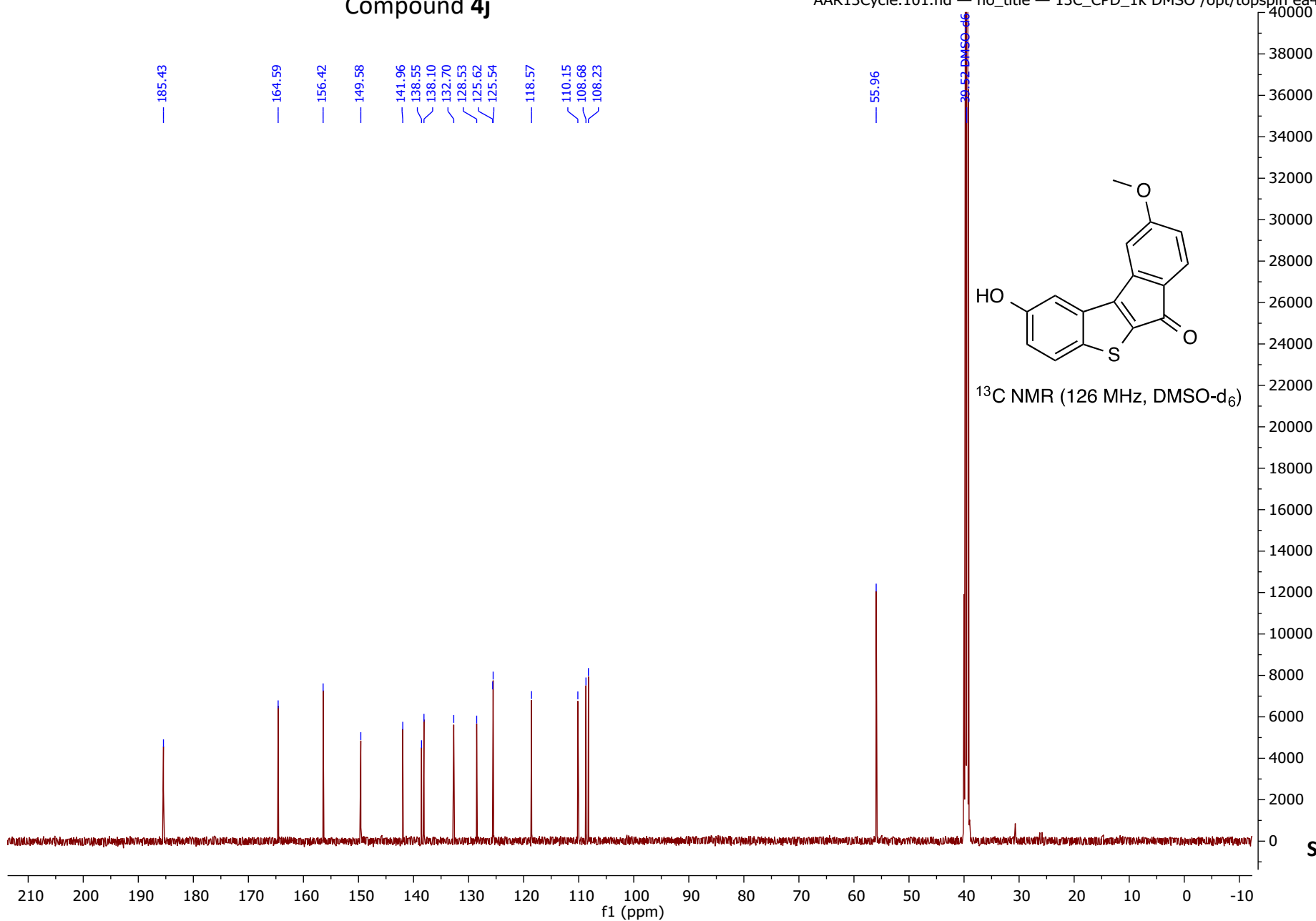
# Compound 4j

AAR13Cycle.100.fid — no\_title — 1H\_quanti\_4 DMSO /opt/topspin ea444€



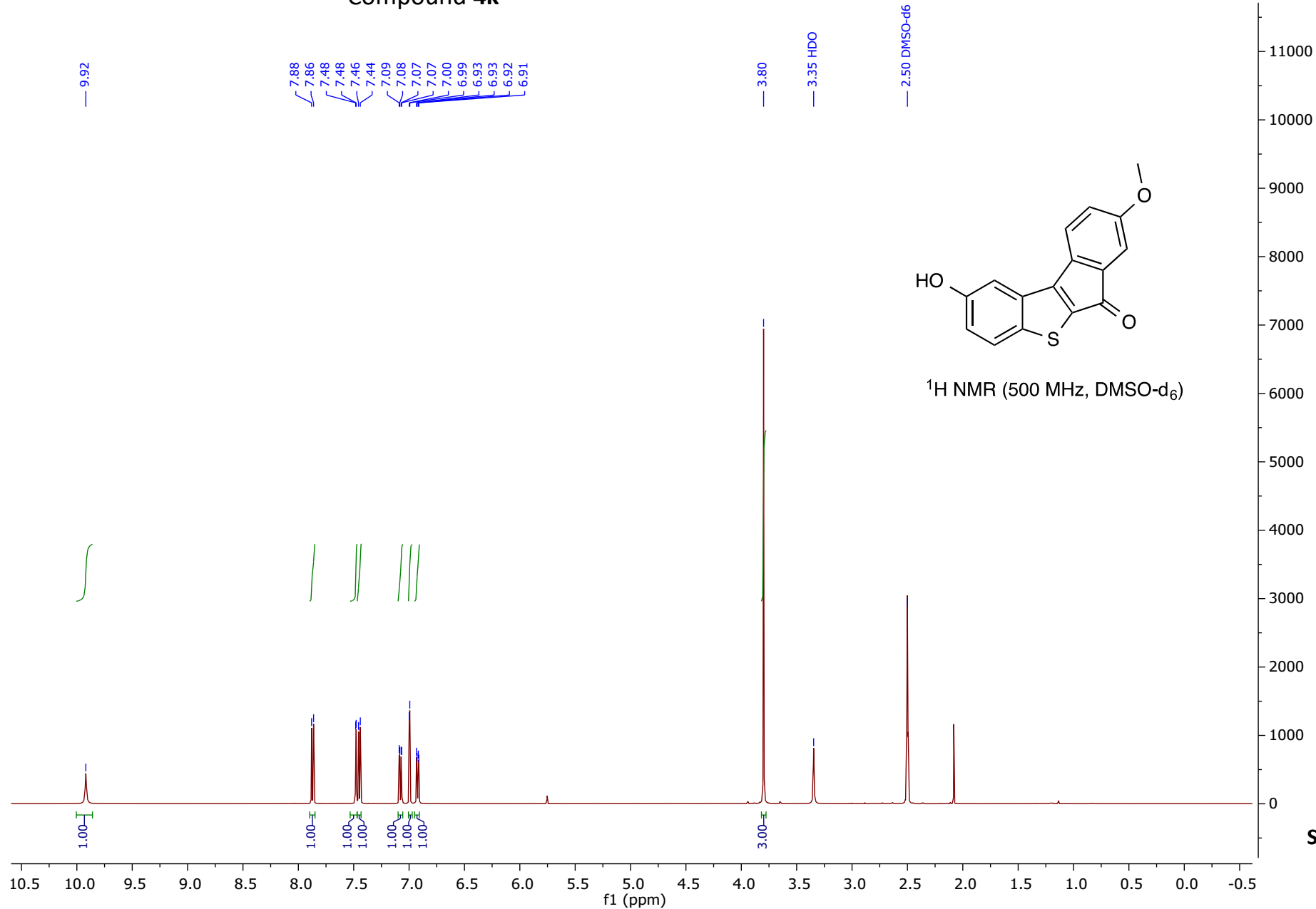
# Compound 4j

AAR13Cycle.101.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea444€



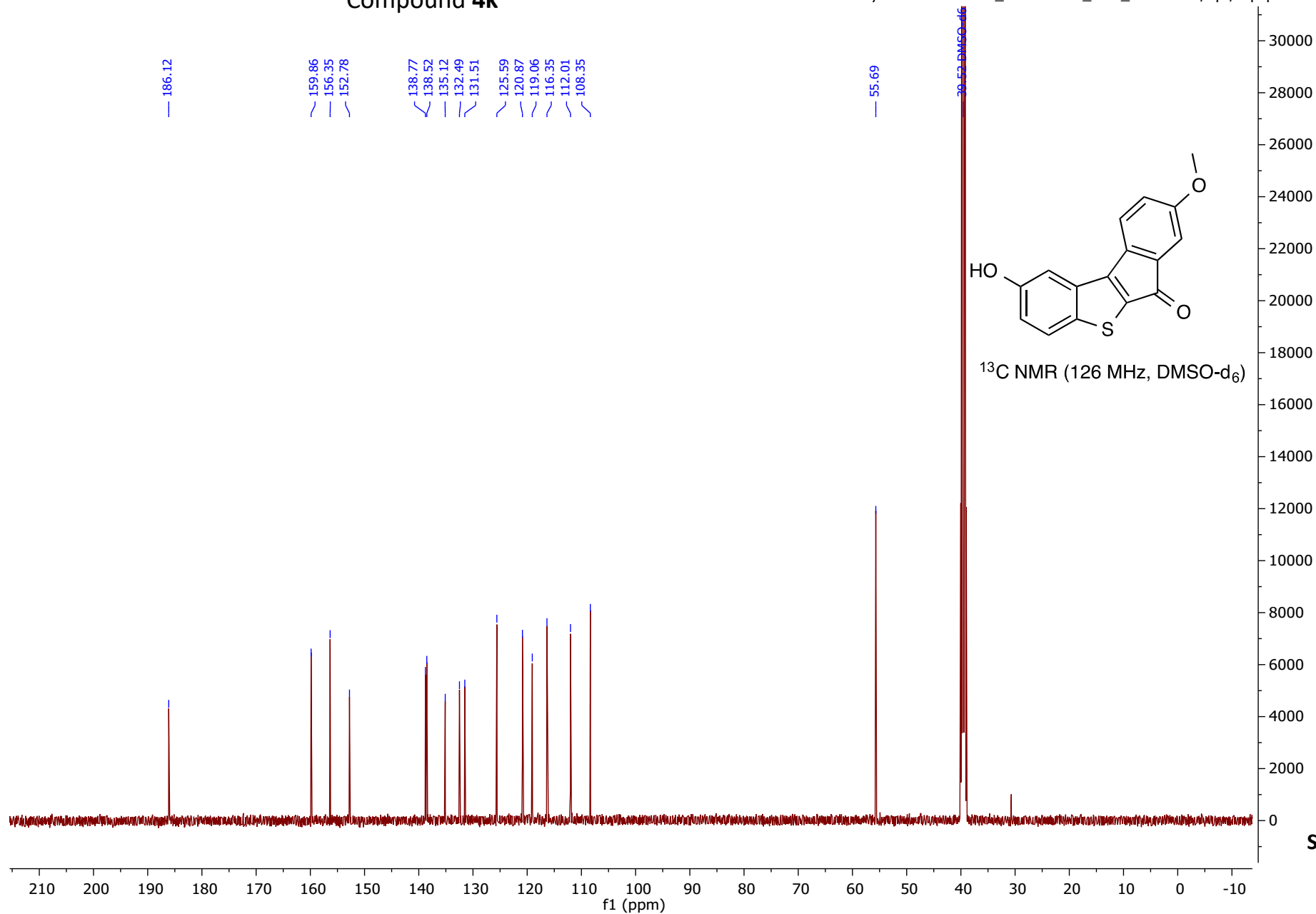
# Compound 4k

AAR12Cycle.100.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea444€



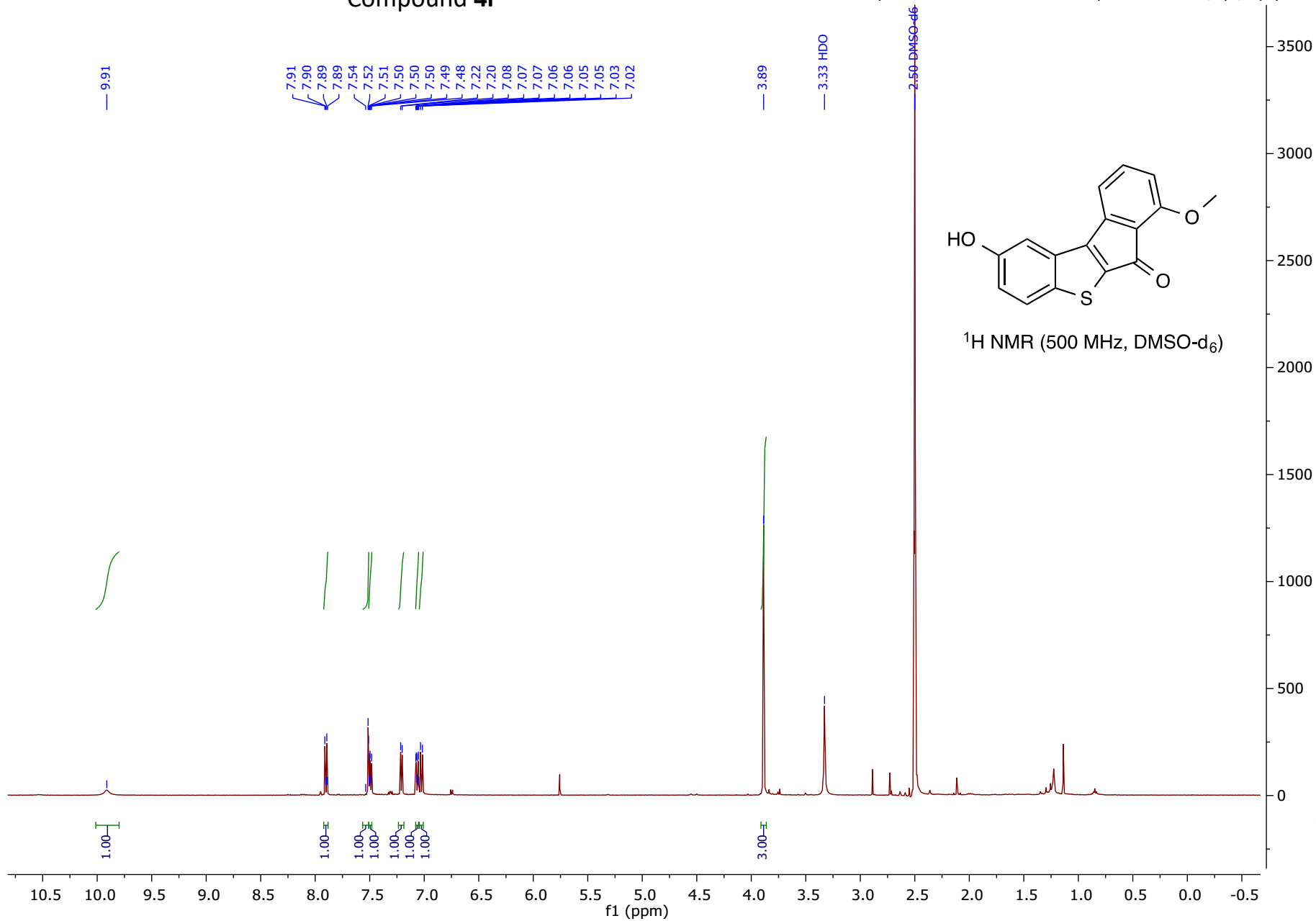
# Compound 4k

AAR12Cycle.101.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea444€



# Compound 4I

AAR14Cycle.100.fid — no\_title — 1H\_quant\_4 DMSO /opt/topspin ea4446



# Compound 4I

AAR14Cycle.101.fid — no\_title — 13C\_CPD\_1k DMSO /opt/topspin ea4446

184.77

157.38  
156.60

149.37

141.53

138.01

137.80

137.27

133.03

125.82

120.20

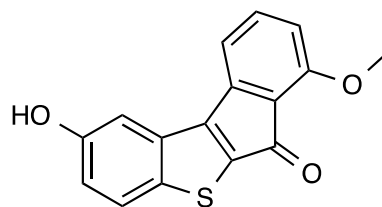
118.54

114.82

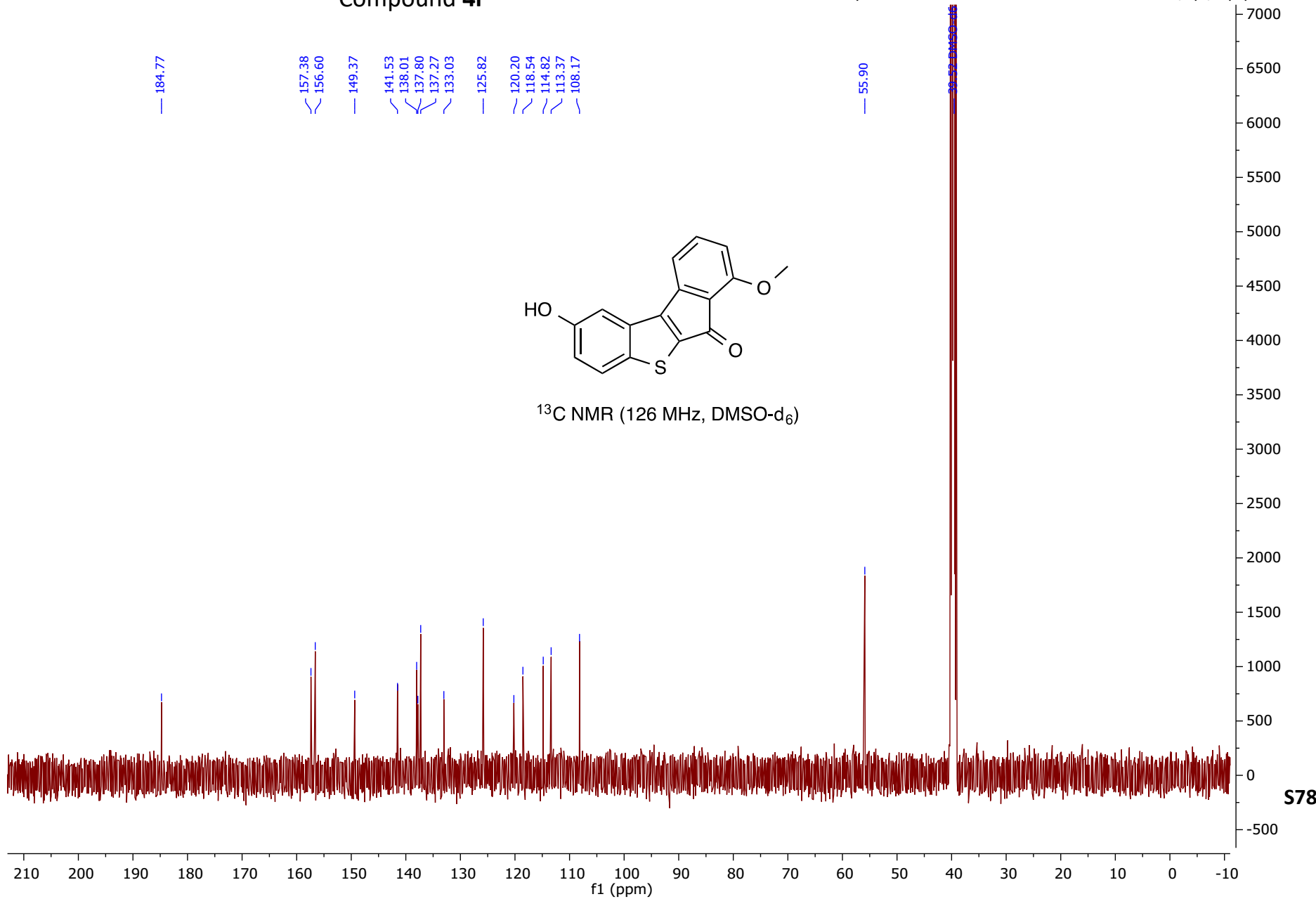
113.37

108.17

55.90

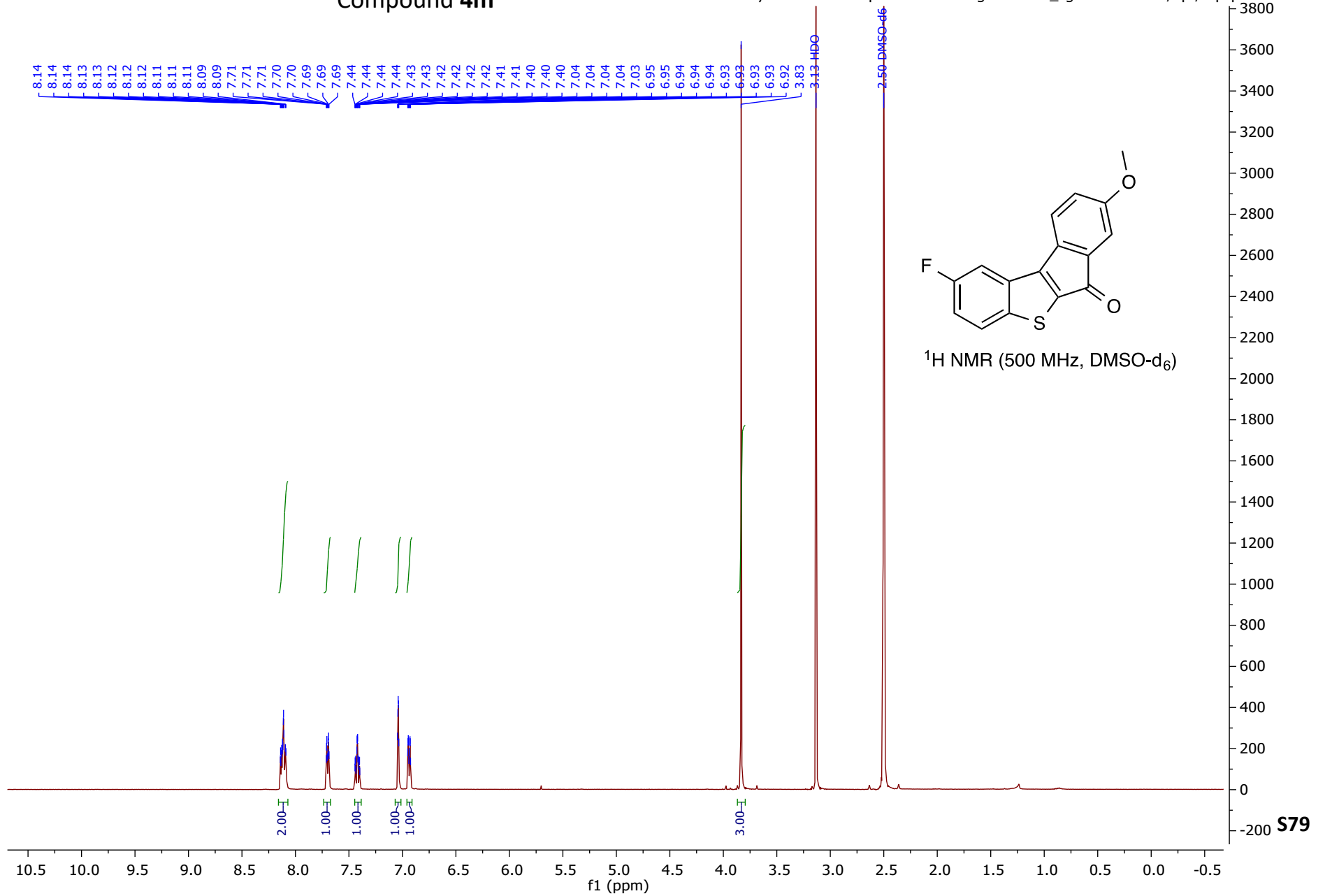


<sup>13</sup>C NMR (126 MHz, DMSO-d<sub>6</sub>)



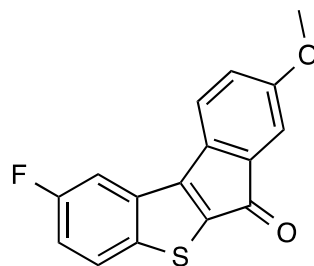
# Compound 4m

AAR2Cycle.100.fid — spectres a 60 degrees — 1H\_zgTE.ab DMSO /opt/topspin ea4446

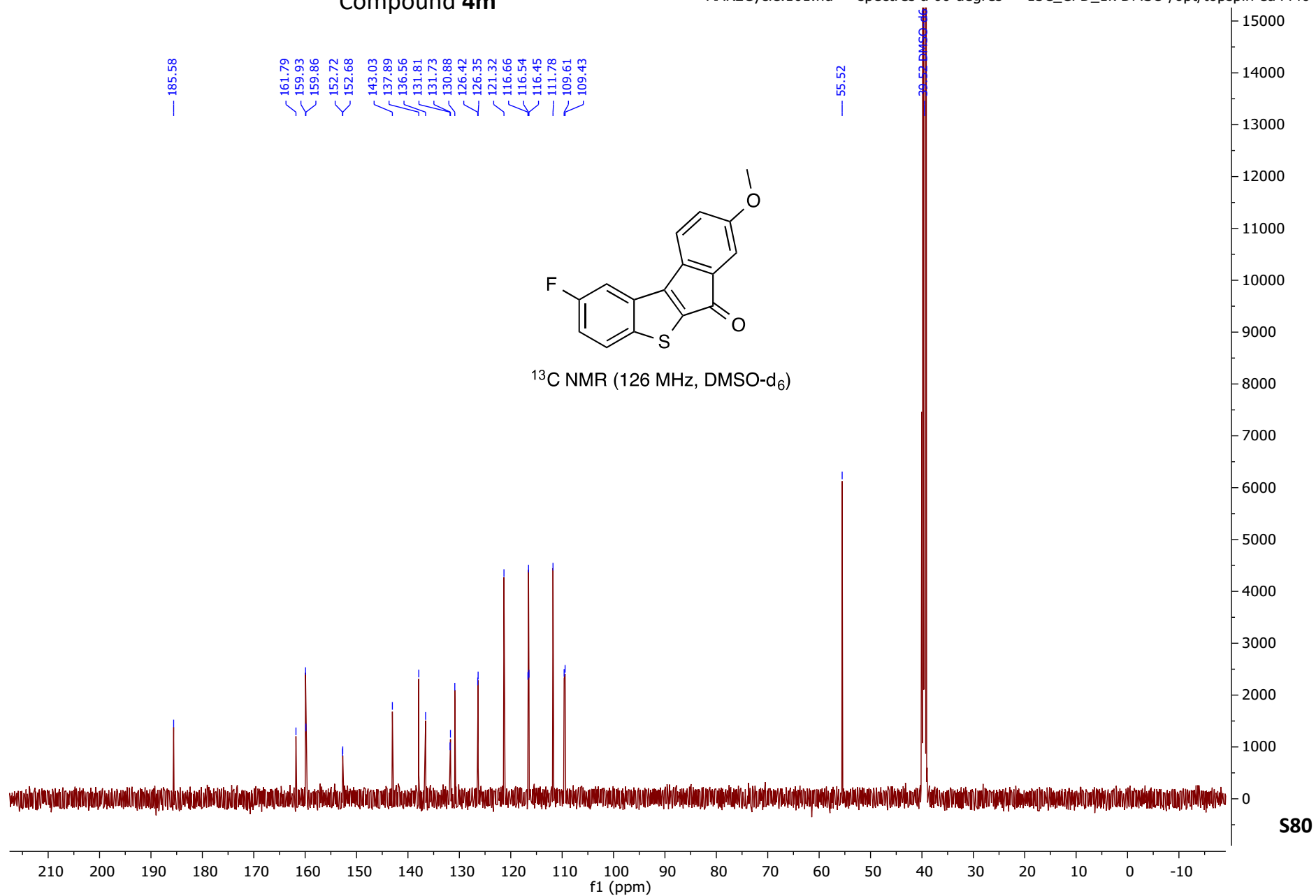


# Compound 4m

AAR2Cycle.101.fid — spectres a 60 degrees — 13C\_CPD\_1k DMSO /opt/topspin ea4446



<sup>13</sup>C NMR (126 MHz, DMSO-d<sub>6</sub>)

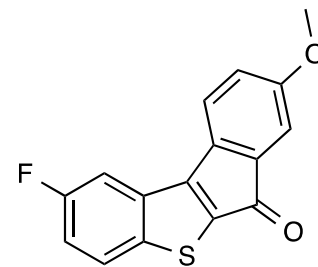




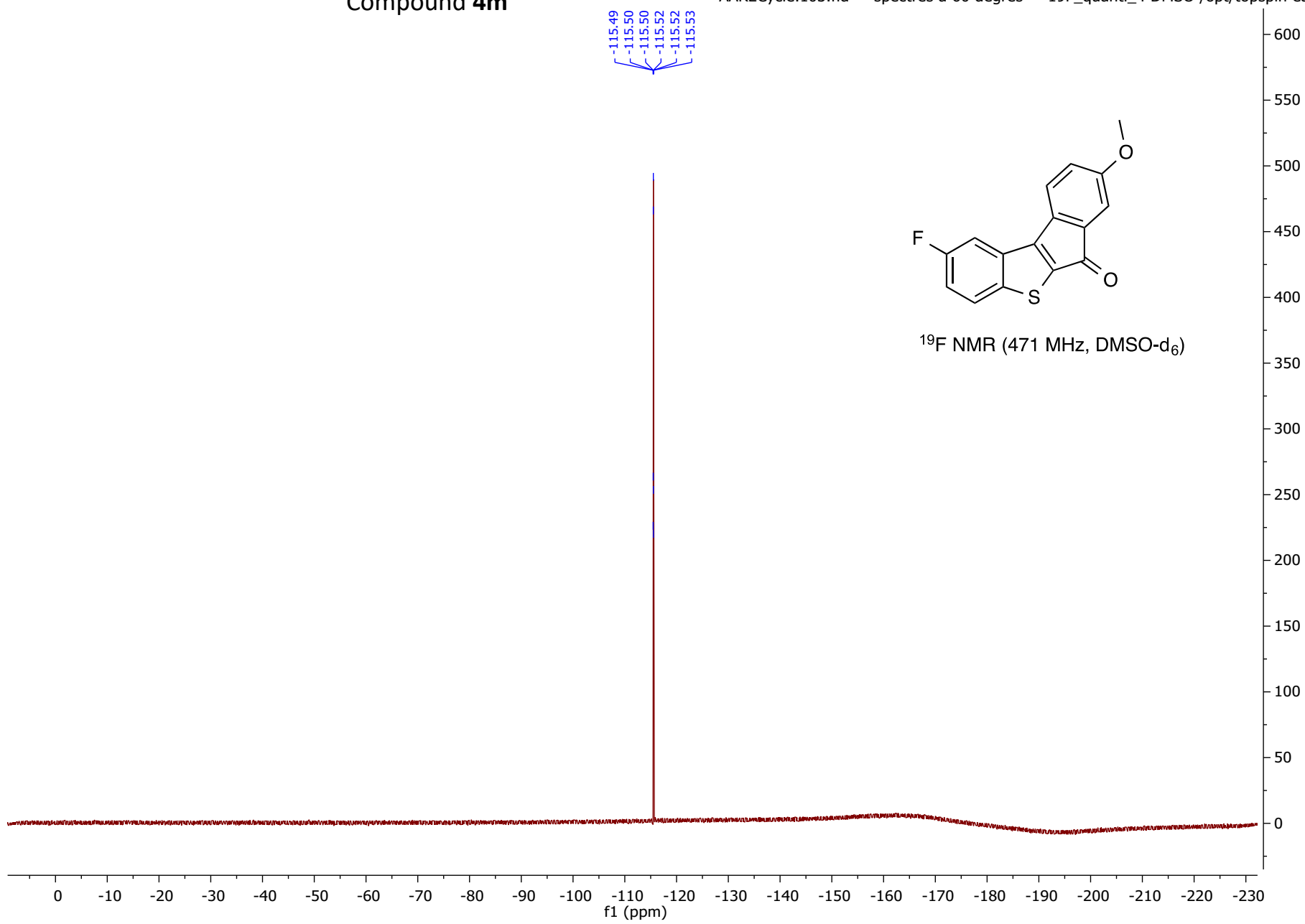
# Compound 4m

AAR2Cycle.103.fid — spectres a 60 degrees — 19F\_quant\_4 DMSO /opt/topspin ea4446

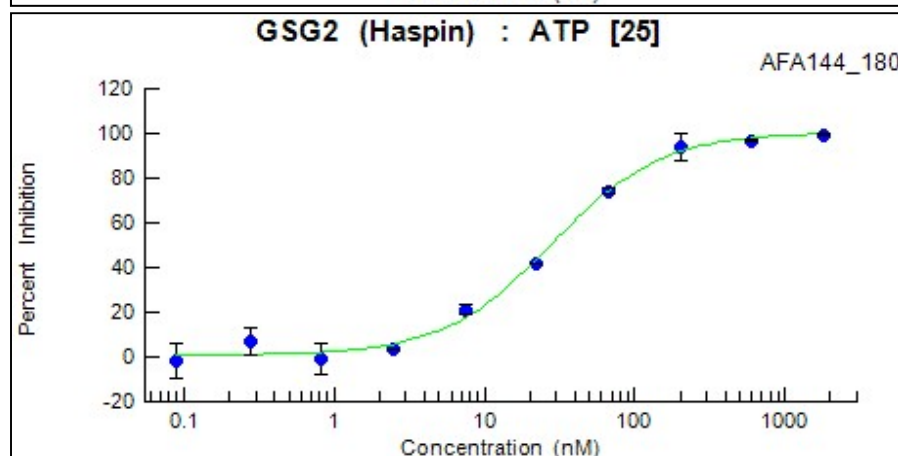
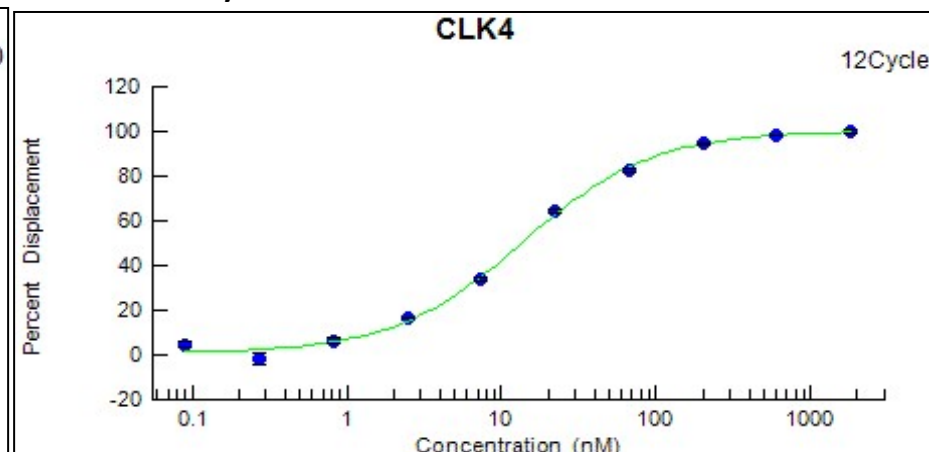
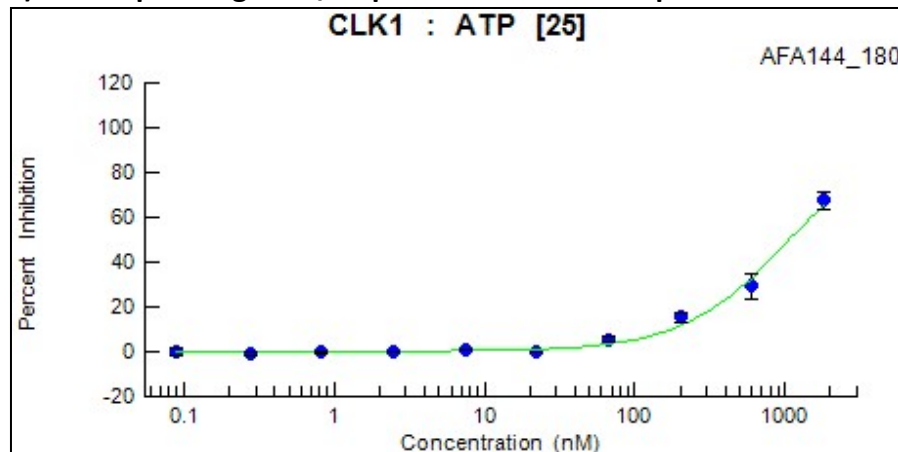
-115.49  
-115.50  
-115.50  
-115.52  
-115.53

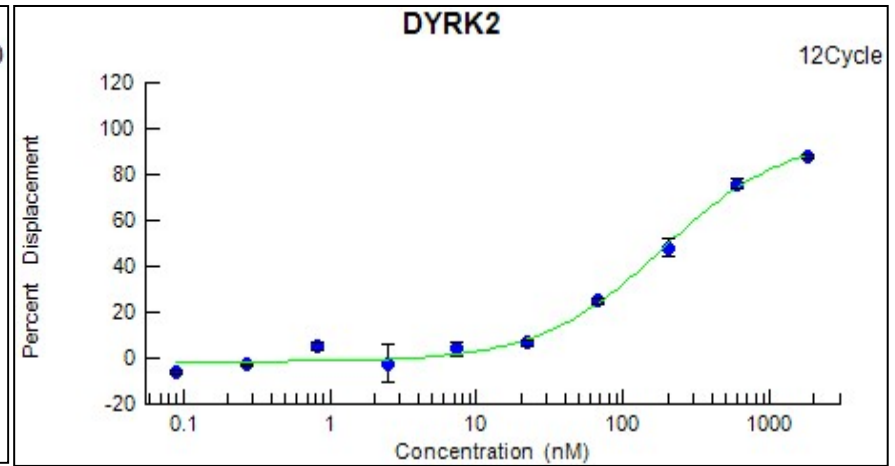
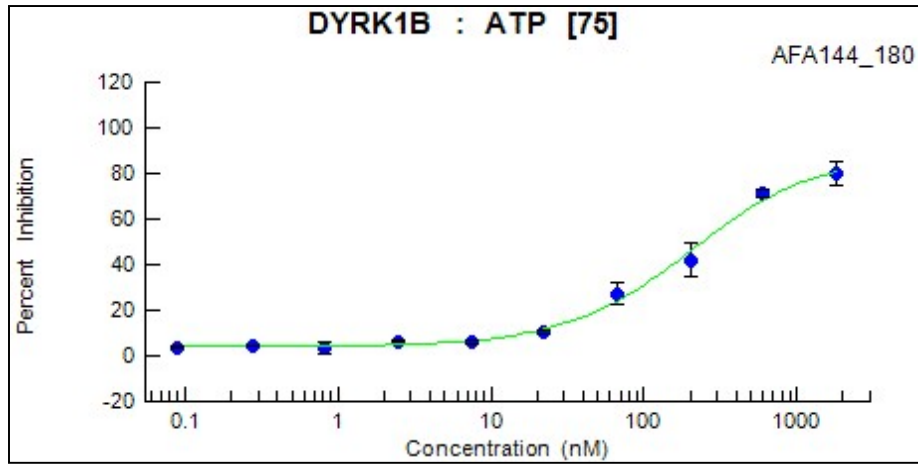


<sup>19</sup>F NMR (471 MHz, DMSO-d<sub>6</sub>)

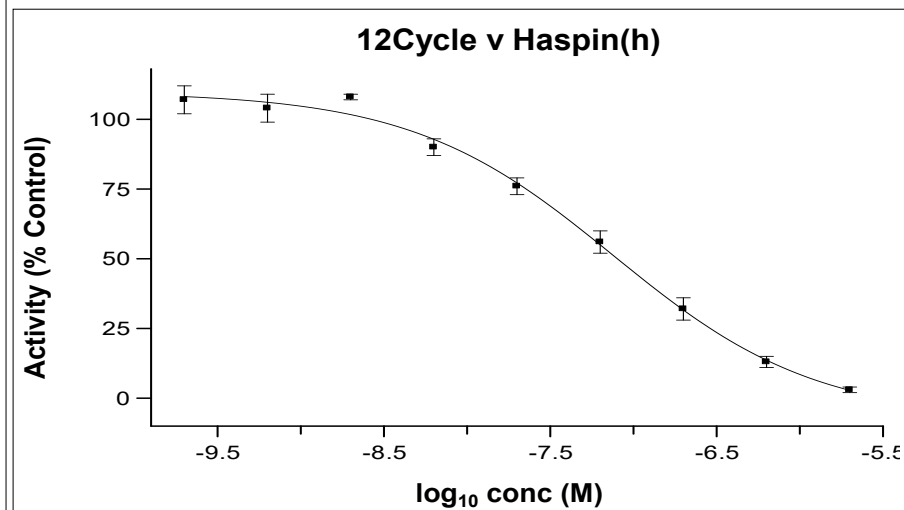
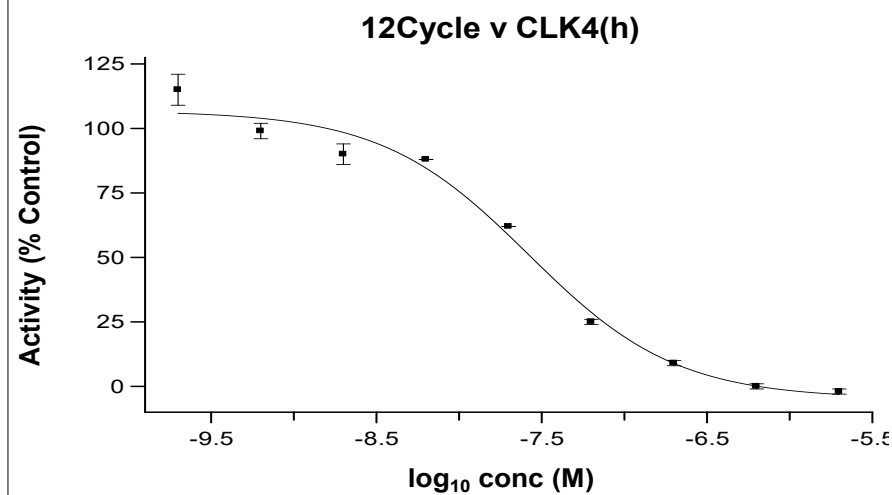
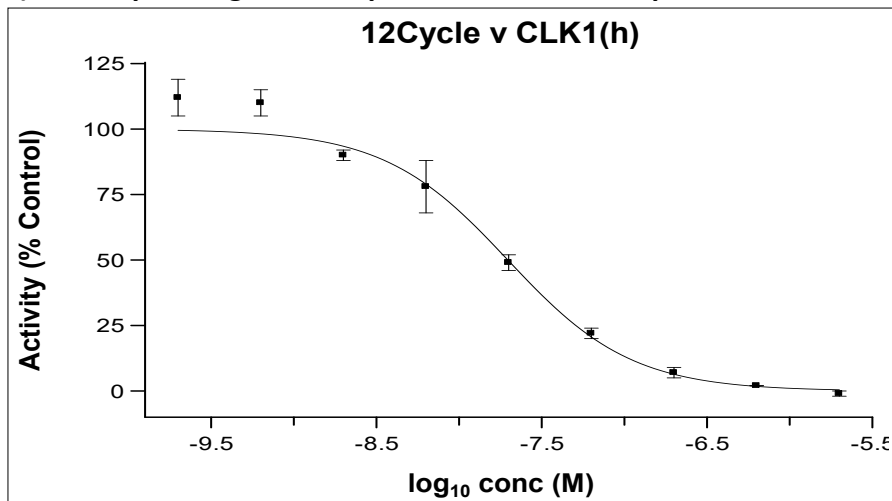


#### 4) Kinase profiling dose/response curves for compound 4k: fluorescence-based assay

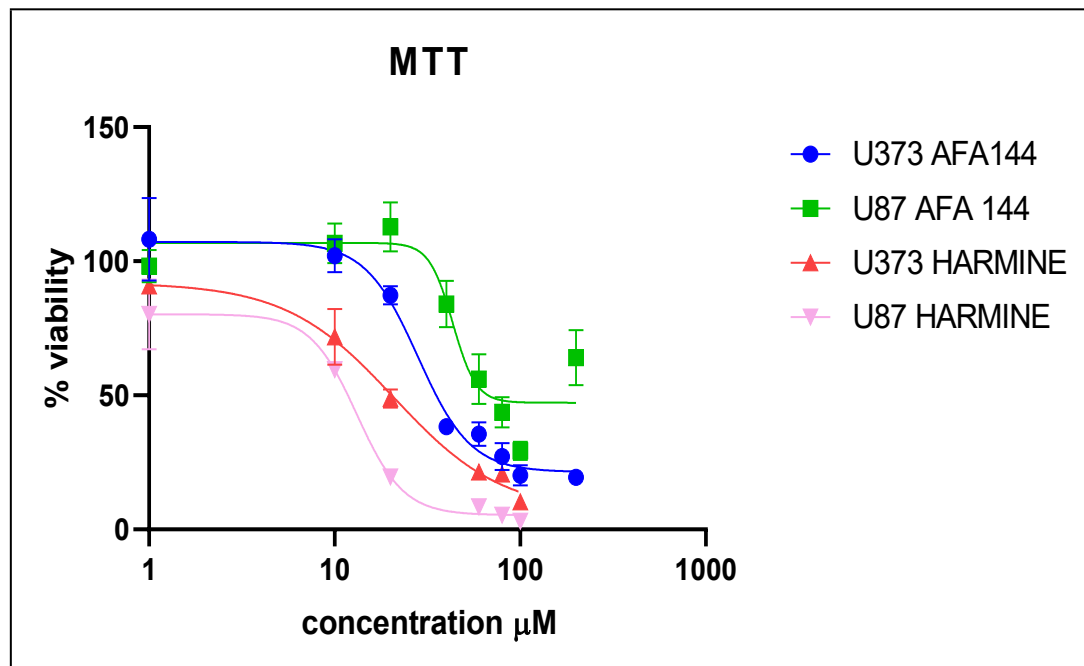




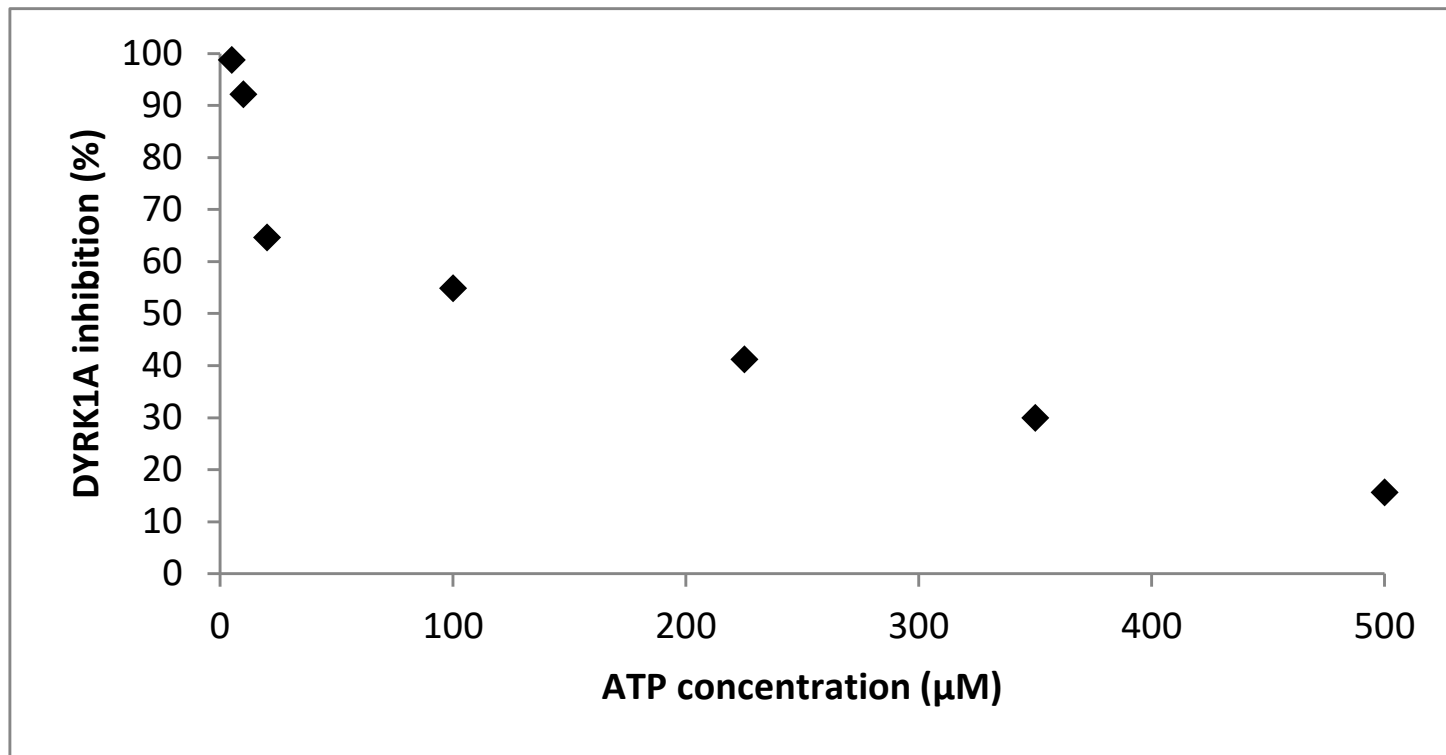
5) Kinase profiling dose/response curves for compound 4k: functional radiometric assay



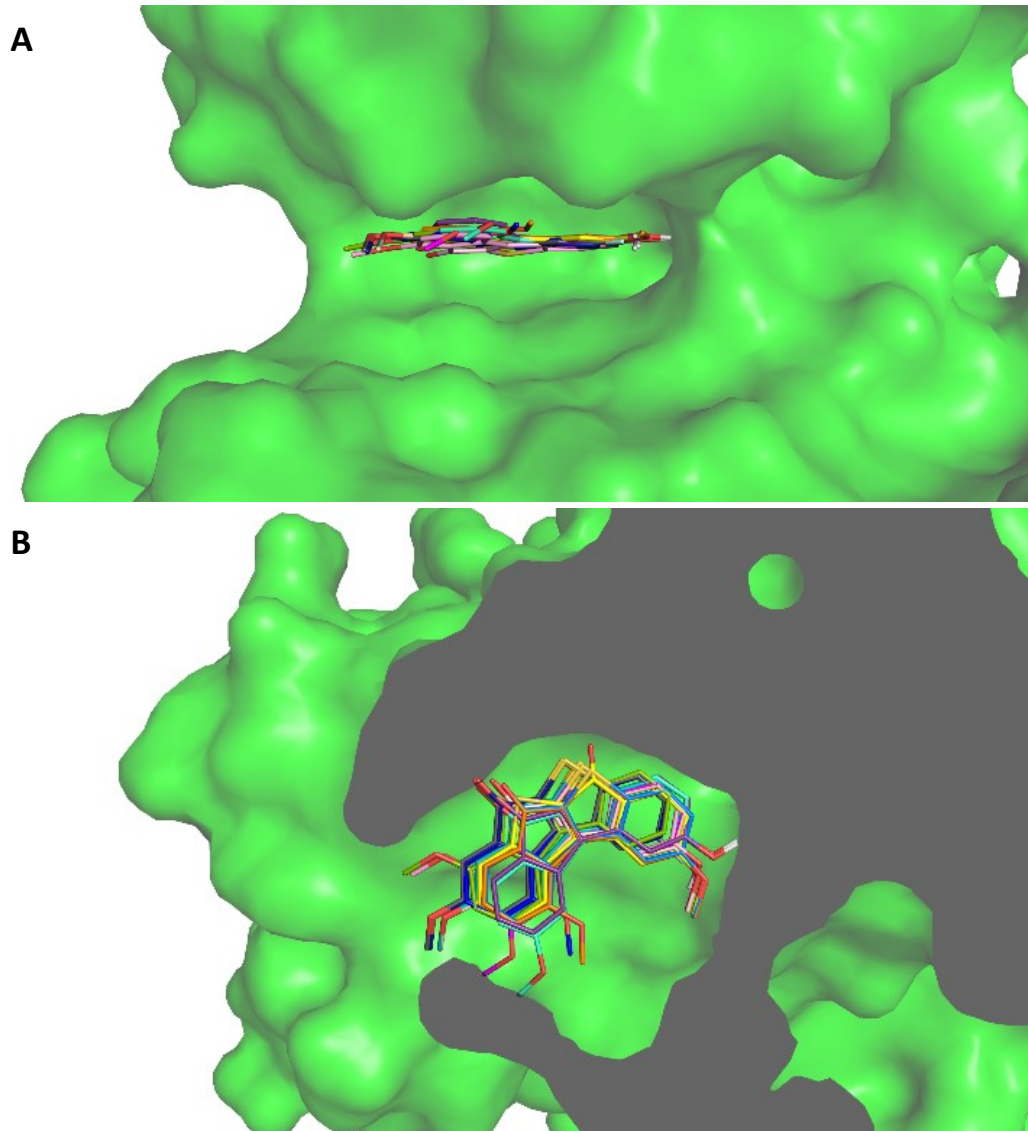
6) Antiproliferative effects dose/response curves for compound 4k



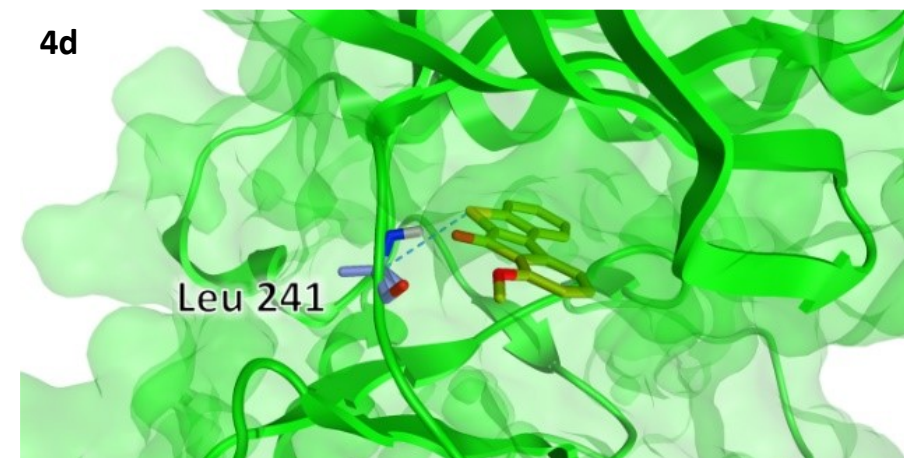
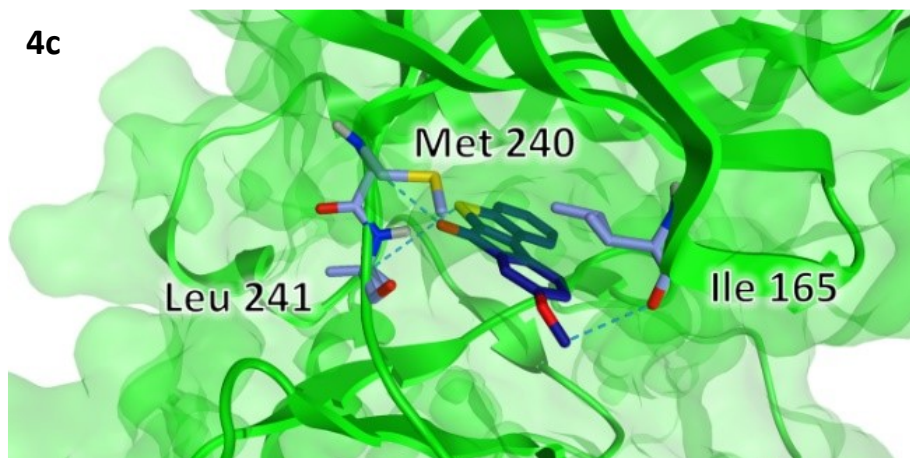
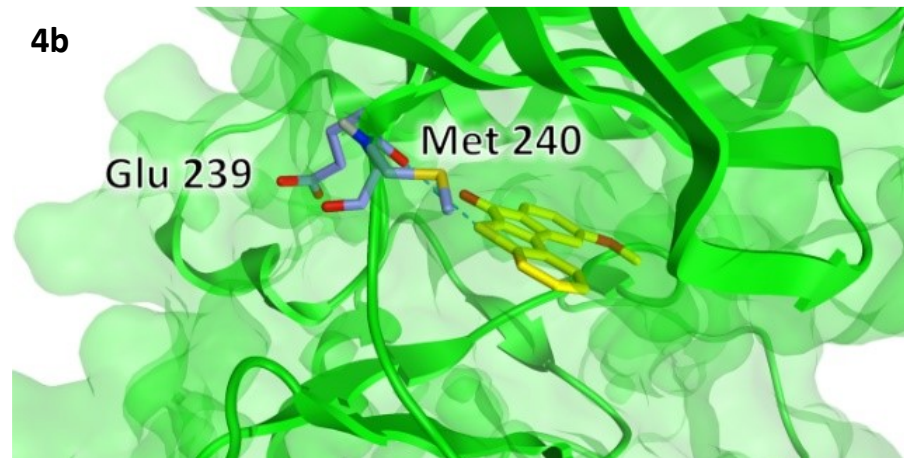
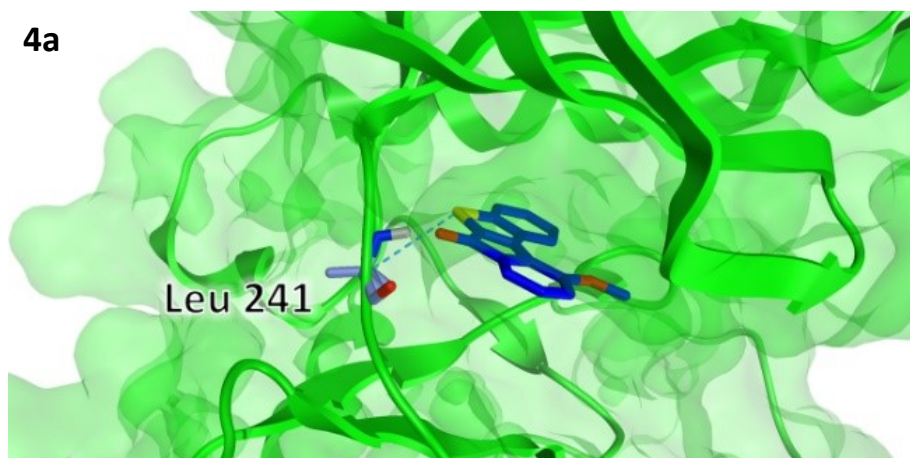
7) Figure S1. ATP-competitive mechanism of action of compound 4k.



8) Figure S2. Superposition of top docking poses of compounds 4a-m obtained with the X-Ray structure of DYRK1A (PDB: 5AIK), whose surface is represented in green (A: side view of the ATP cleft. B: top view). Compound 4b is flipped and illustrated in yellow

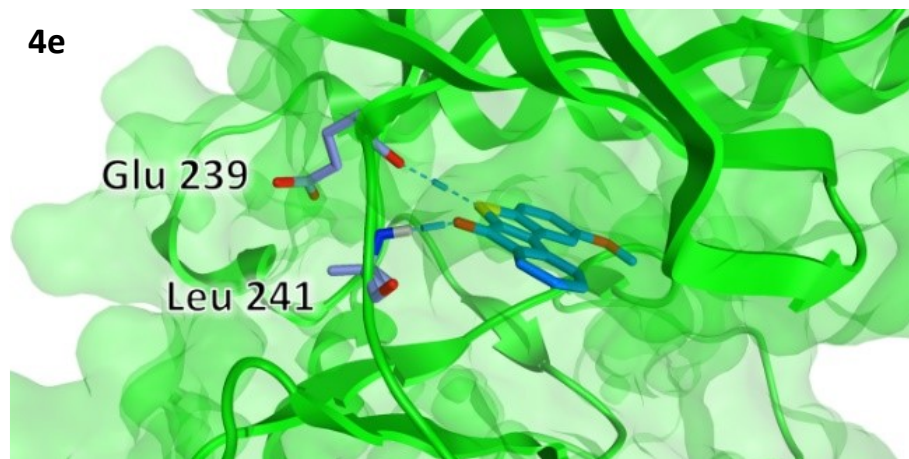


9) Figure S3. Top docking poses obtained for compounds 4a-m on kinase DYRK1A

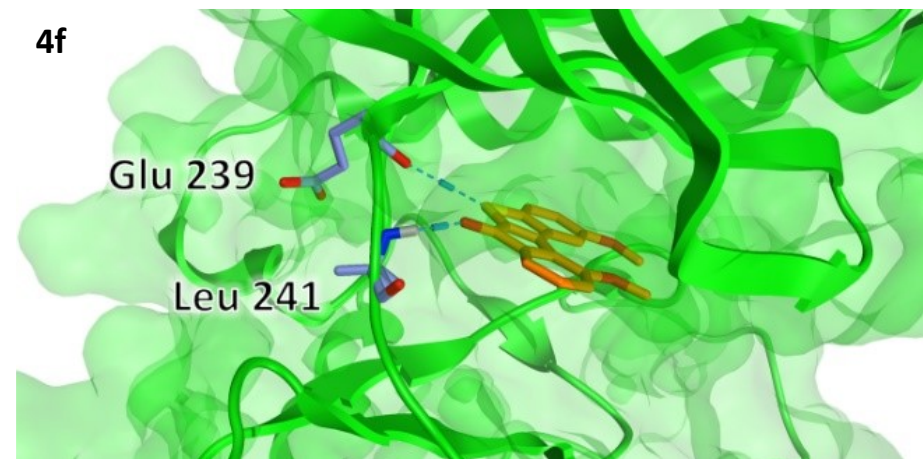




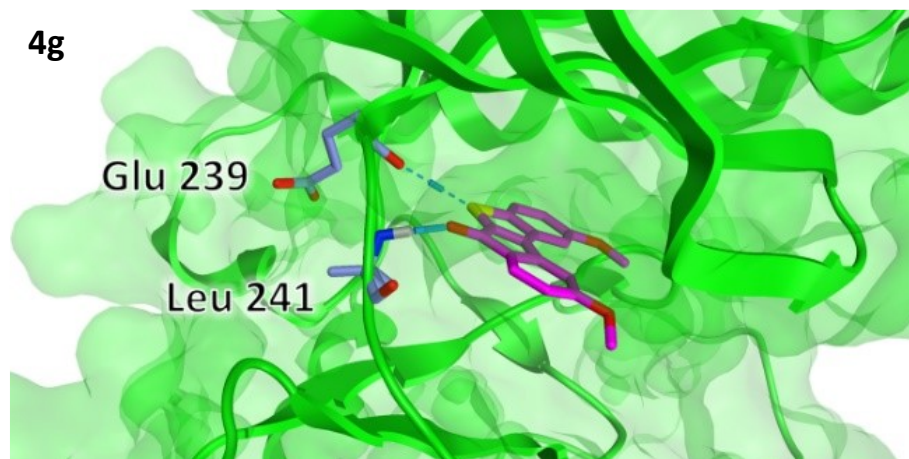
4e



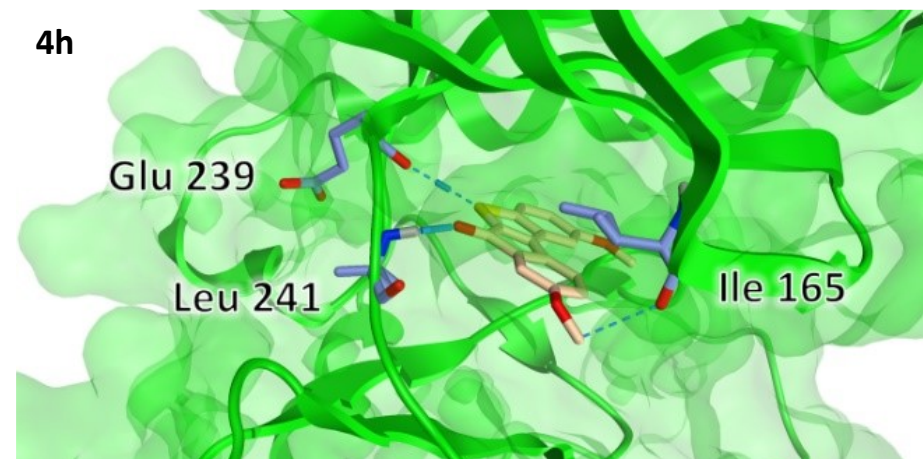
4f

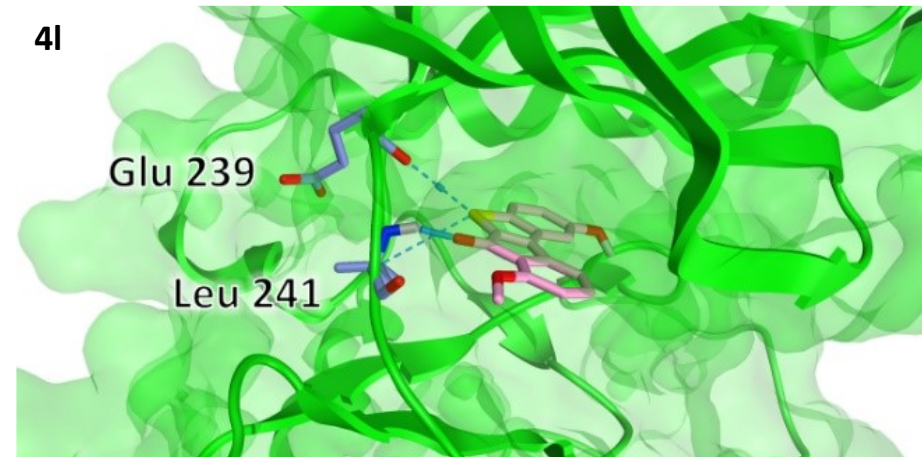
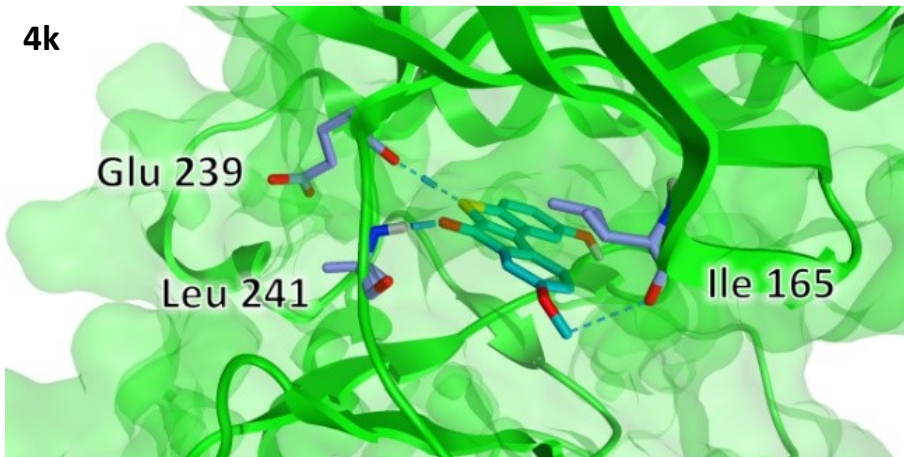
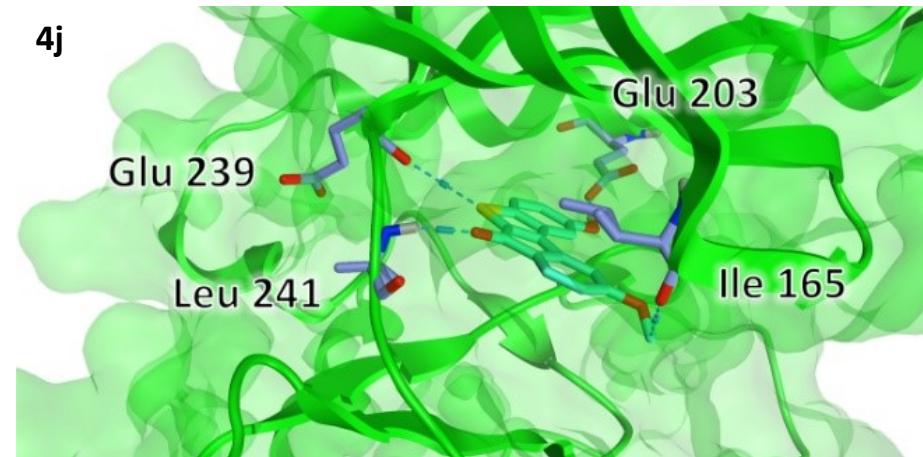
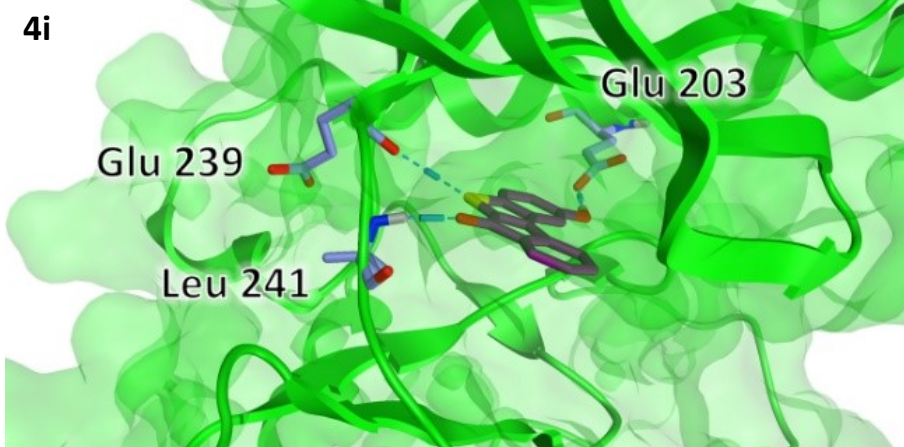


4g



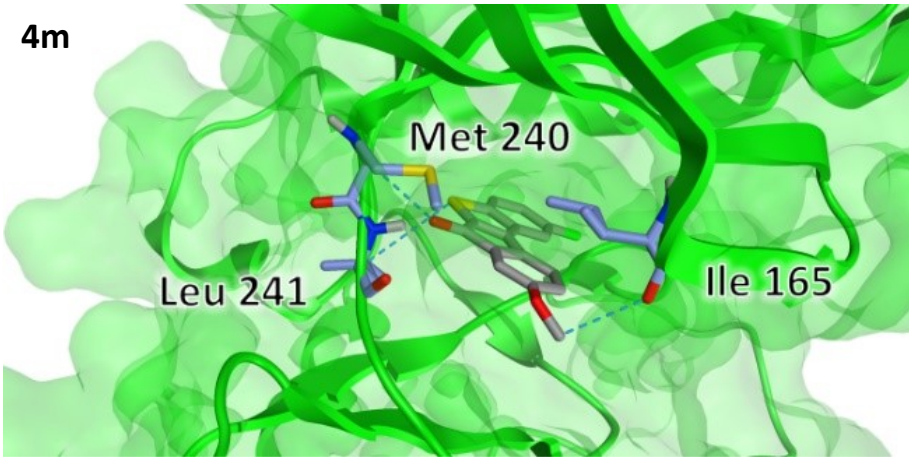
4h







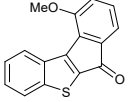
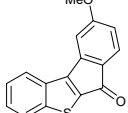
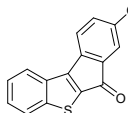
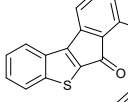
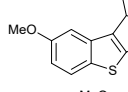
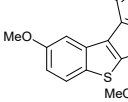
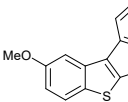
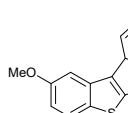
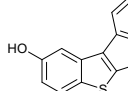
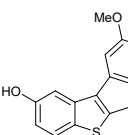
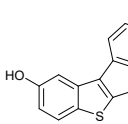
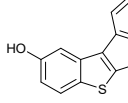
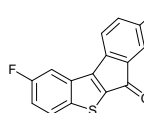
4m



**10) Table S1. Virtual scoring of compounds 4a-m on kinases DYRK1A, CLK1, CLK4 and Haspin**

Entry	compound	DYRK1A		CLK1		CLK4		Haspin	
		Score	Rescore	Score	Score	Score	Rescore	Score	Rescore
1	R <sup>5</sup> = H R'' = 3-OMe <b>4a</b>	49,85	32,27	113,68	113,68	52,27	34,10	113,68	67,18
2	R <sup>5</sup> = H R'' = 4-OMe <b>4b</b>	49,97	31,91	113,99	113,99	54,82	33,51	113,99	63,23
3	R <sup>5</sup> = H R'' = 5-OMe <b>4c</b>	48,80	31,81	115,85	115,85	54,40	33,86	115,85	68,23
4	R <sup>5</sup> = H R'' = 6-OMe <b>4d</b>	51,17	32,41	116,97	116,97	51,70	33,30	116,97	64,03
5	R <sup>5</sup> = OMe R'' = H <b>4e</b>	52,50	35,11	121,54	121,54	56,28	36,70	121,54	74,61
6	R <sup>5</sup> = OMe R'' = 3-OMe <b>4f</b>	55,02	35,57	128,51	128,51	58,31	36,99	128,51	76,36
7	R <sup>5</sup> = OMe R'' = 4-OMe <b>4g</b>	53,17	35,15	126,72	126,72	57,08	36,33	126,72	74,06
8	R <sup>5</sup> = OMe R'' = 5-OMe <b>4h</b>	53,23	35,53	129,84	129,84	57,67	36,63	129,84	76,75
9	R <sup>5</sup> = OH R'' = H <b>4i</b>	54,65	34,17	118,18	118,18	56,28	35,75	118,18	71,74
10	R <sup>5</sup> = OH R'' = 4-OMe <b>4j</b>	54,26	33,30	123,71	123,71	57,28	35,17	123,71	70,34
11	R <sup>5</sup> = OH R'' = 5-OMe <b>4k</b>	54,49	34,09	126,33	126,33	58,22	35,36	126,33	72,58
12	R <sup>5</sup> = OH R'' = 6-OMe <b>4l</b>	57,24	34,09	127,25	127,25	55,98	34,48	127,25	63,56
13	R <sup>5</sup> = F R'' = 5-OMe <b>4m</b>	48,87	31,85	116,26	116,26	54,40	33,79	116,26	67,89

**11) Table S2. Yields obtained for keto derivatives 6 and tetracyclic compounds 4 syntheses.**

Entry	Ketone <b>6</b>	Yield %	Palladium-catalysed annulation product <b>4</b>	Yield %
1	R <sup>5</sup> = H R'' = 3-OMe <b>6a</b>	87		<b>4a</b> 82
2	R <sup>5</sup> = H R'' = 4-OMe <b>6b</b>	87		<b>4b</b> 88
3	R <sup>5</sup> = H R'' = 5-OMe <b>6c</b>	90		<b>4c</b> 88
4	R <sup>5</sup> = H R'' = 6-OMe <b>6d</b>	96		<b>4d</b> 67
5	R <sup>5</sup> = OMe R'' = H <b>6e</b>	83		<b>4e</b> 83
6	R <sup>5</sup> = OMe R'' = 3-OMe <b>6f</b>	71		<b>4f</b> 86
	R <sup>5</sup> = OMe R'' = 4-OMe <b>6g</b>	95		<b>4g</b> 84
8	R <sup>5</sup> = OMe R'' = 5-OMe <b>6h</b>	80		<b>4h</b> 70
9	R <sup>5</sup> = OTBDMS R'' = H <b>6i</b>	85		<b>4i</b> 74
10	R <sup>5</sup> = OTBDMS R'' = 4-OMe <b>6j</b>	67		<b>4j</b> 79
11	R <sup>5</sup> = OTBDMS R'' = 5-OMe <b>6k</b>	89		<b>4k</b> 57
12	R <sup>5</sup> = OTBDMS R'' = 6-OMe <b>6l</b>	83		<b>4l</b> 51
13	R <sup>5</sup> = F R'' = 5-OMe <b>6m</b>	88		<b>4m</b> 87