

## Supporting Information

**Manuscript ID:** jm-2009-00899g

**Author:** Safavy, A. *et al.*

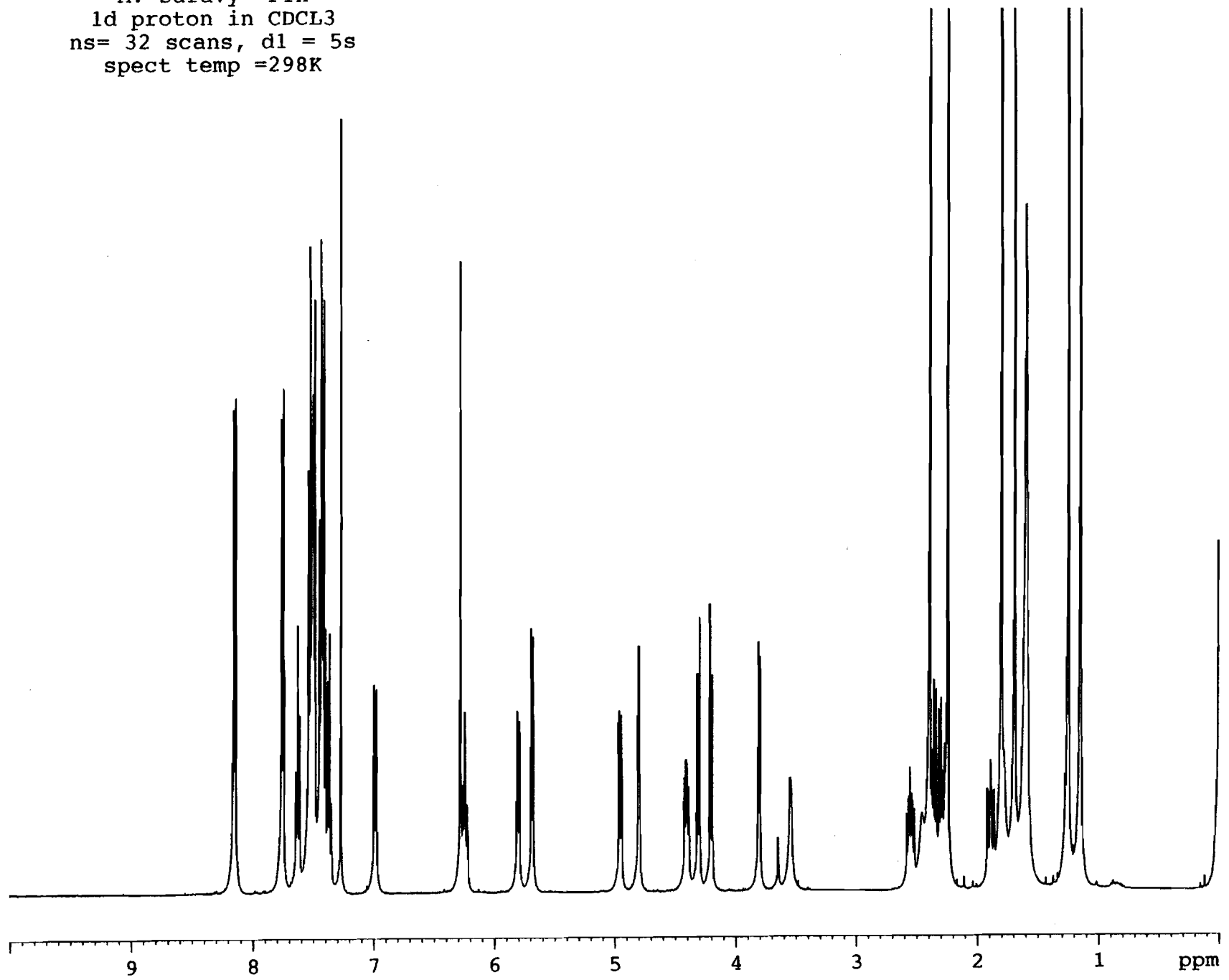
**Title:** “Synthesis and Preliminary Biological Evaluation of High-drug Load Paclitaxel-Antibody Conjugates for Tumor-targeted Chemotherapy”

**Chart legends (figure, scheme, and compound numbers shown below refer to those of the revised manuscript):**

1. <sup>1</sup>H-NMR spectra of paclitaxel (**1**, **Figure 1**) dPEG linkers **2** and **3** (**Figure 2**), and compound **9a** of **Scheme 1**.
2. MALDI mass spectra of compounds **2**, **5-7**, **8a**, **8b**, **9a**, and **9b** of **Scheme 1**. Electrospray mass spectra are included for the dPEGs **2** and **3**.
3. Thin-layer chromatograms of compounds **5-7**, **8a**, **8b**, **9a**, and **9b** of **Scheme 1**. Spots on all slides, except for **7** were visualized in an iodine chamber after development. Compound **7** was visualized by ninhydrin spray followed by mild heating. The minor low-R<sub>f</sub> spot may be due to solvents/reagents appearing due to the very high sensitivity of the ninhydrin test. The peaks on the left are the doubly charged half-MW signals.
4. Analytical reversed-phase HPLCs of compounds **8a**, **8b**, **9a**, and **9b** of **Scheme 1**.
5. MALDI-TOF spectra of conjugates **11e-11h** of **Scheme 2**.
6. Analytical size-exclusion HPLCs of unconjugated MAb C225 and conjugates **11a-11h**, **Scheme 2**.

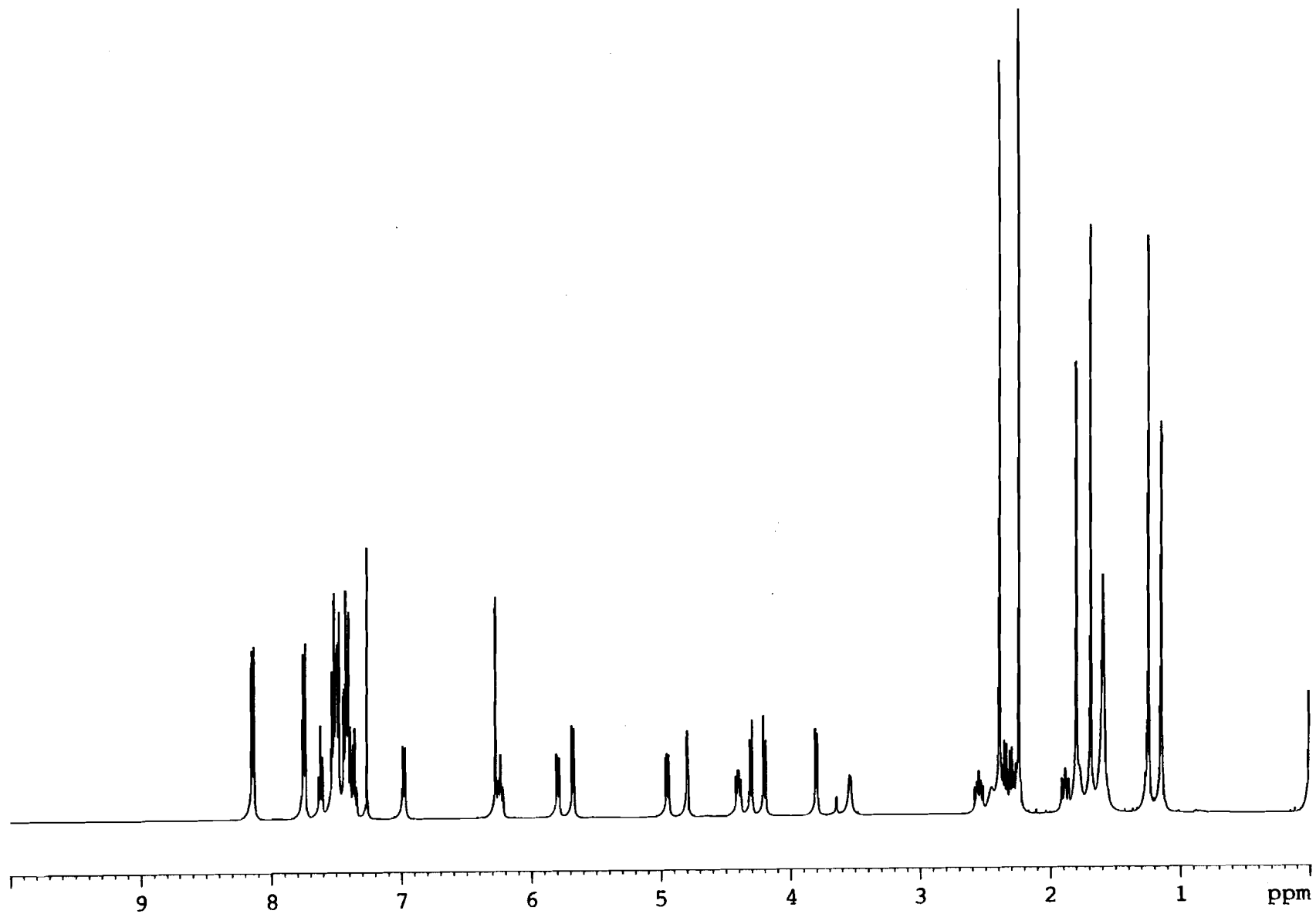
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A. Safavy PTX  
1d proton in CDCL3  
ns= 32 scans, dl = 5s  
spect temp =298K



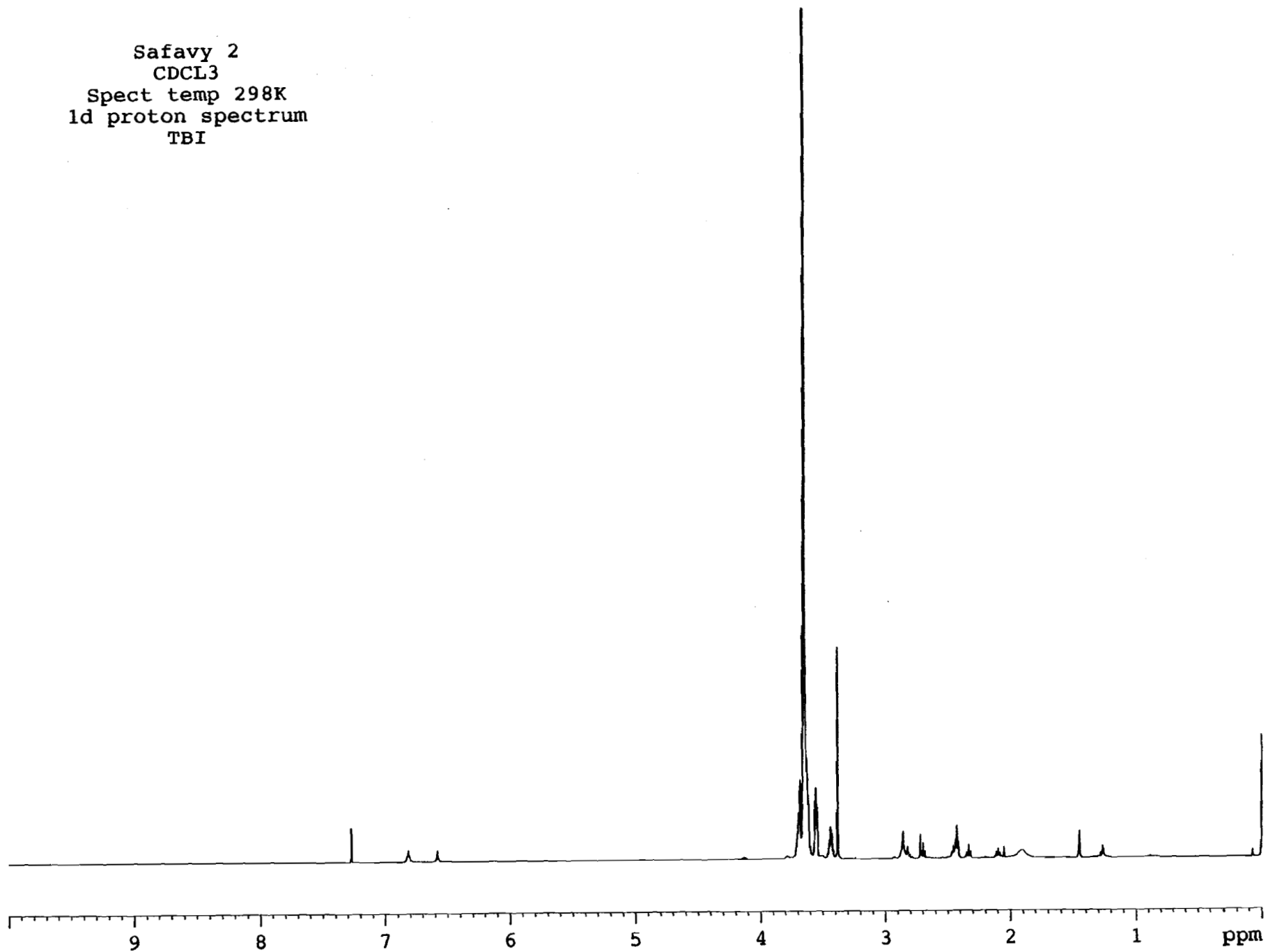
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A. Safavy PTX  
1d proton in CDCL3  
ns= 32 scans, d1 = 5s  
spect temp =298K



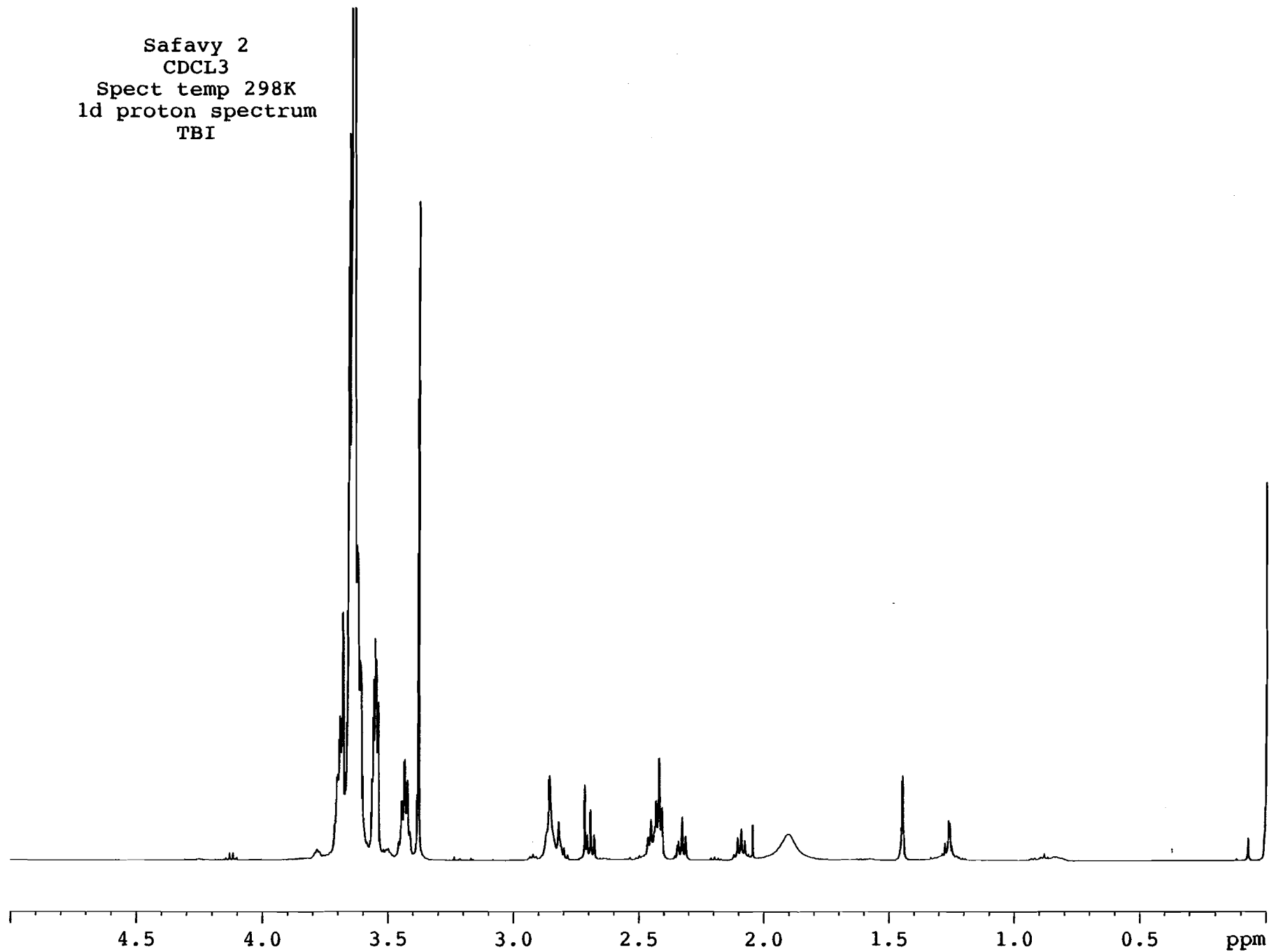
2

Safavy 2  
CDCL3  
Spect temp 298K  
1d proton spectrum  
TBI



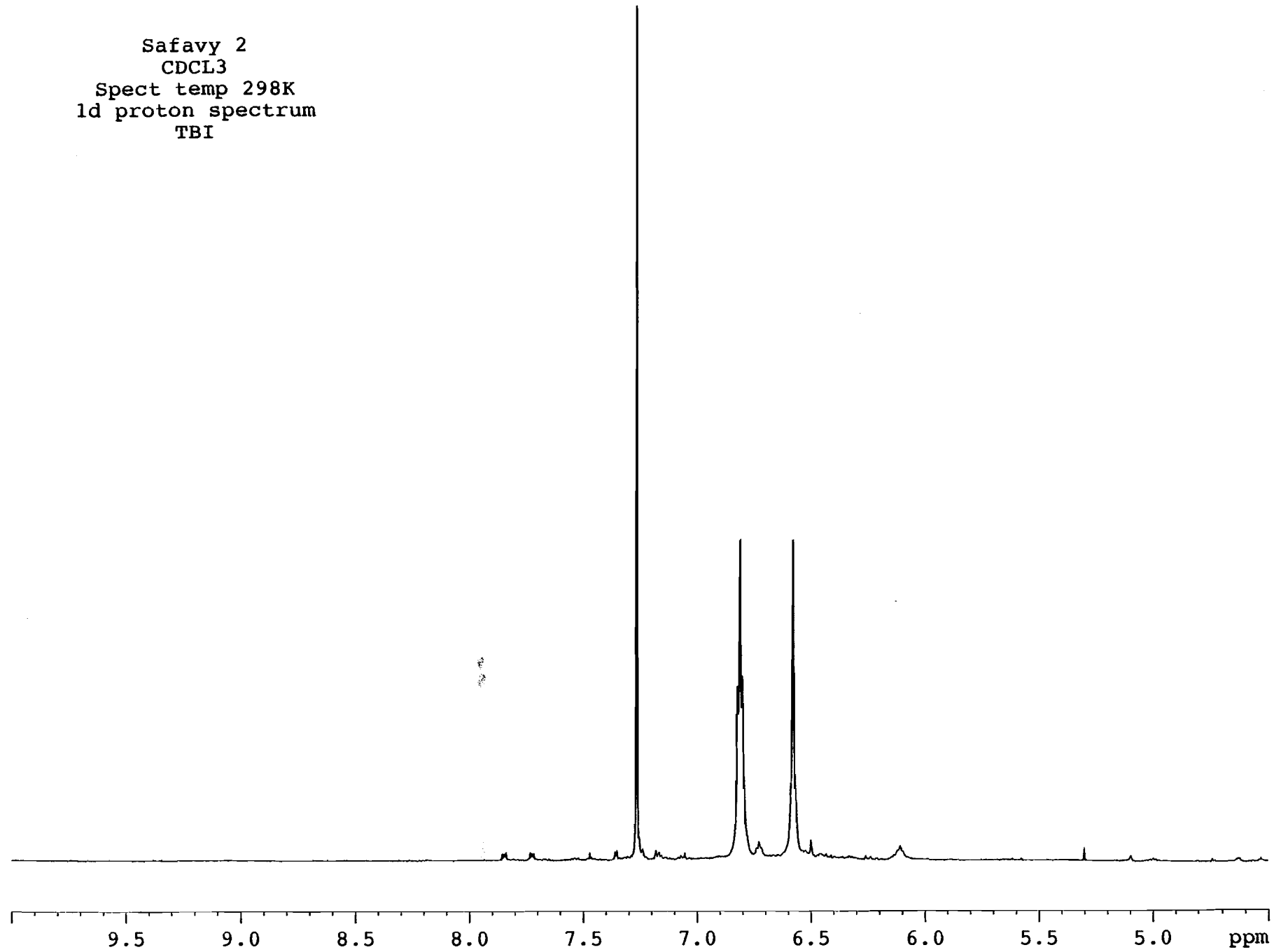
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Safavy 2  
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Spect temp 298K  
1d proton spectrum  
TBI



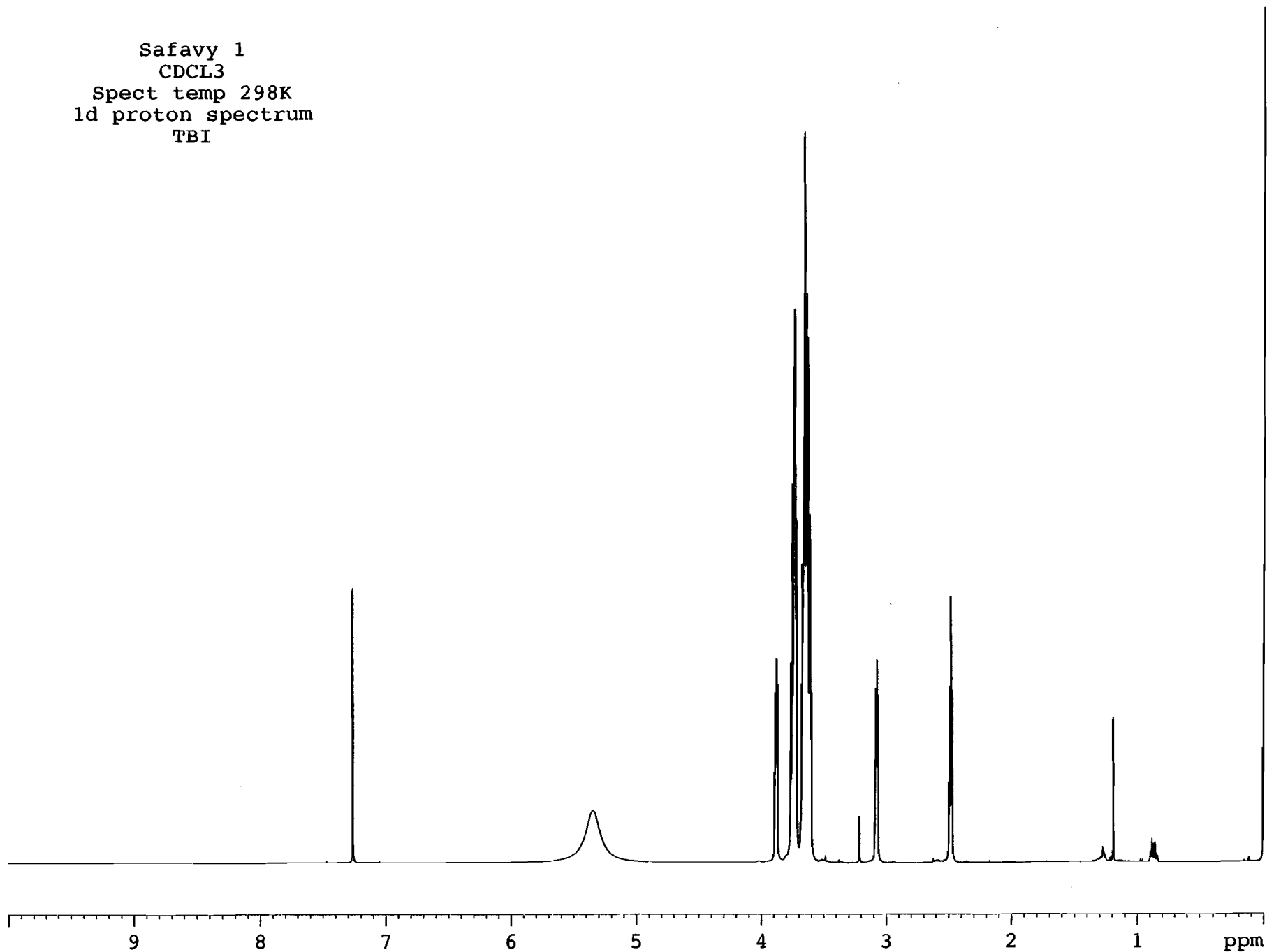
2

Safavy 2  
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Spect temp 298K  
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TBI



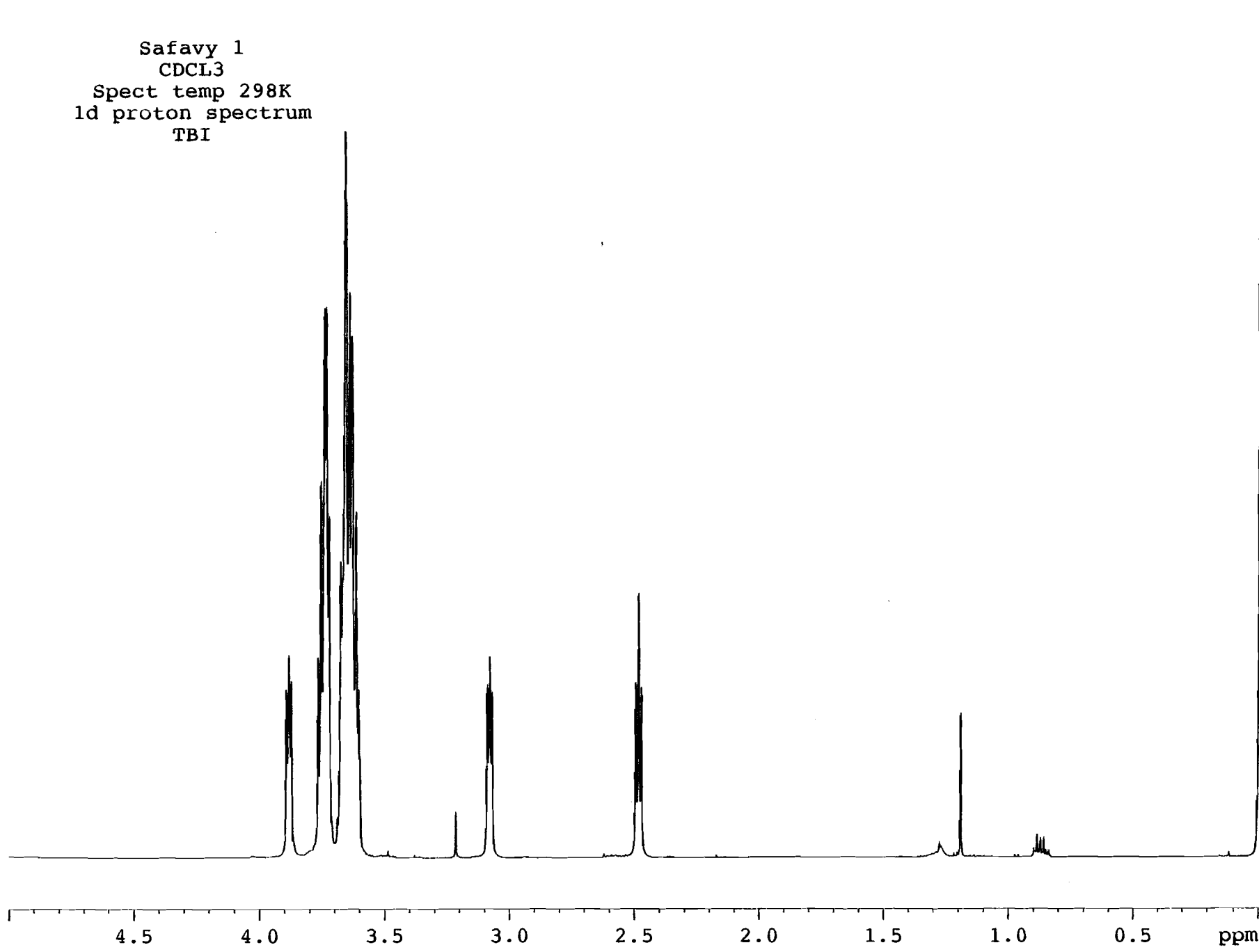
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CDCL3  
Spect temp 298K  
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TBI



10

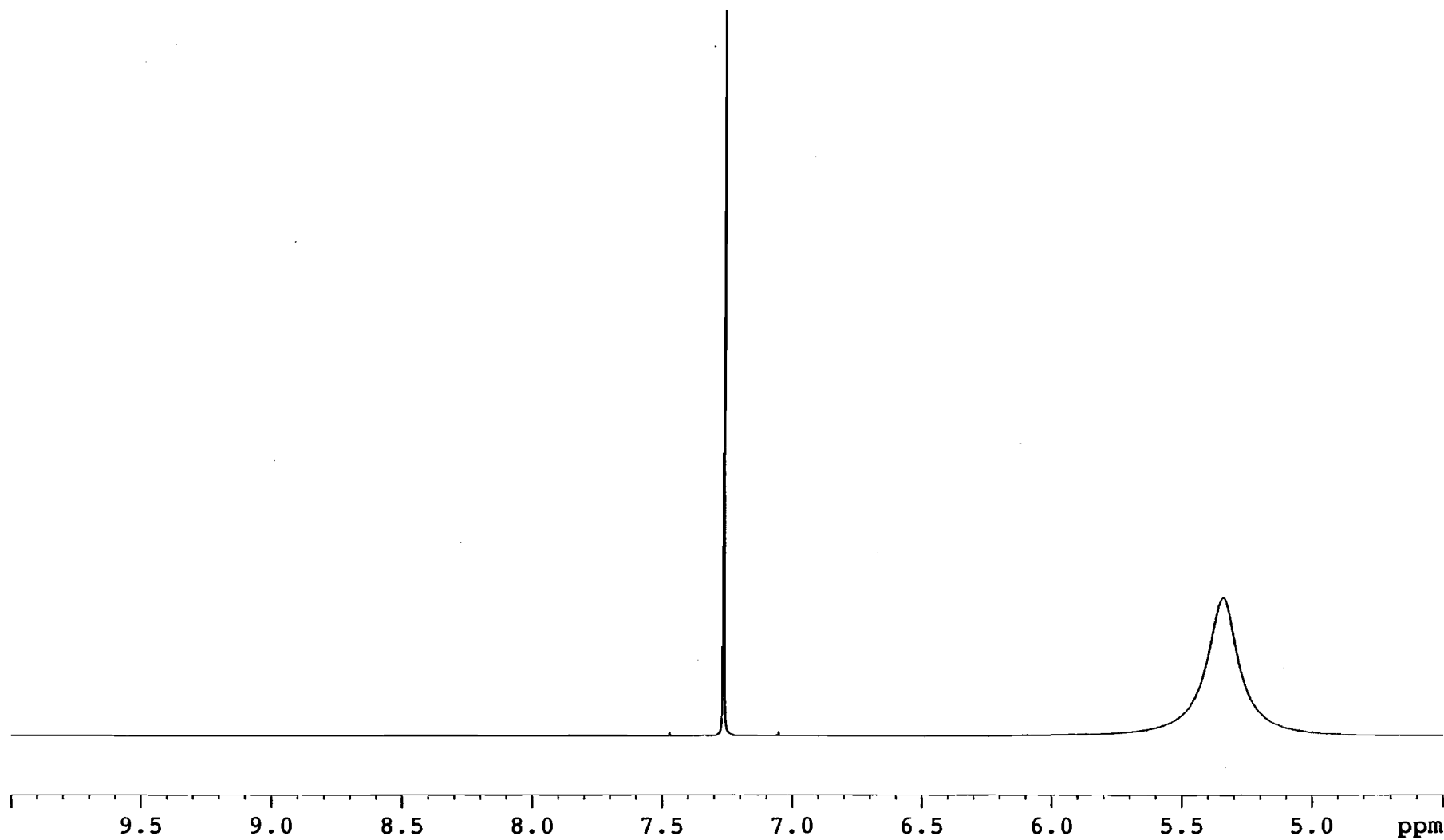
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TBI





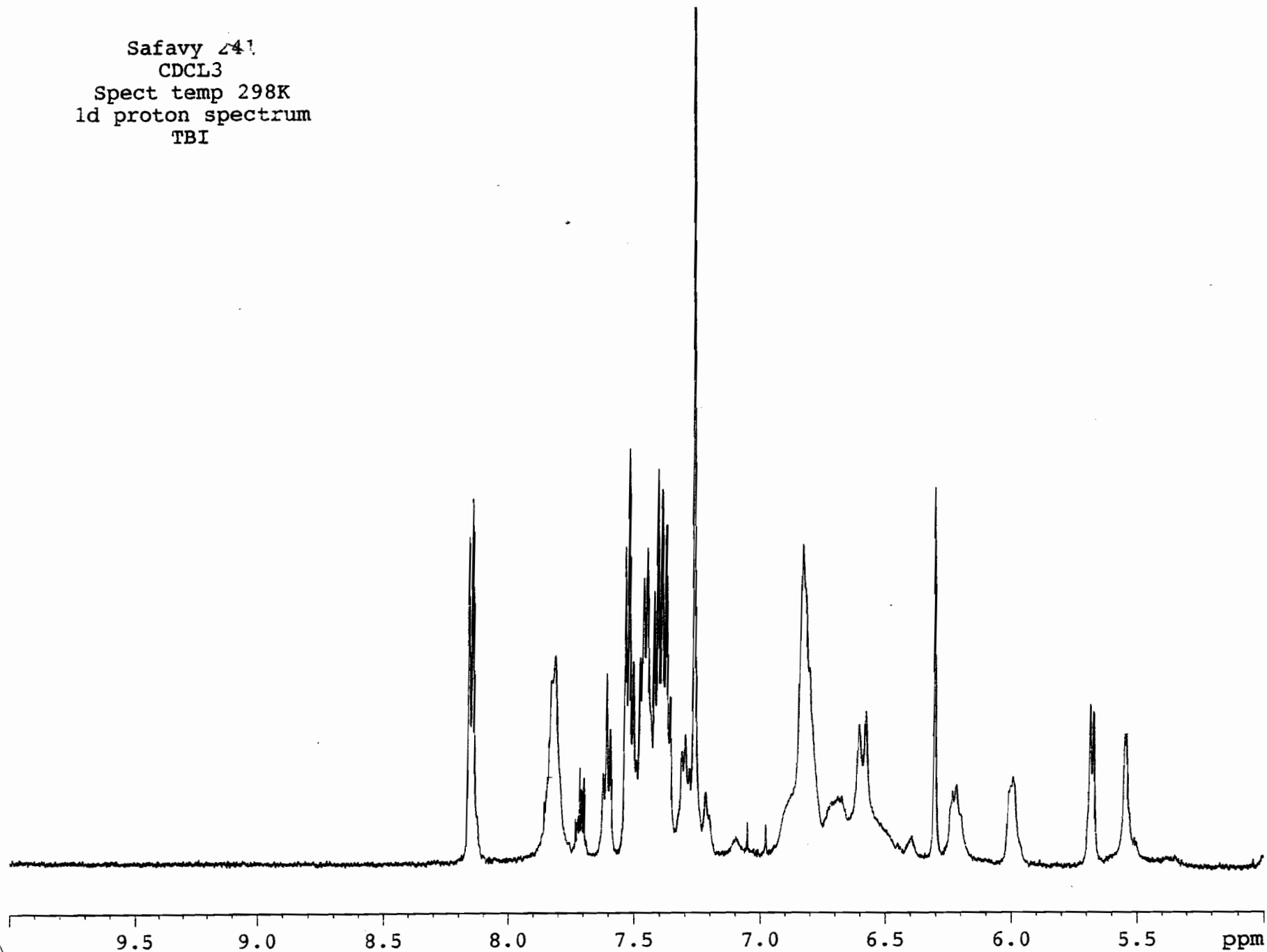
3

Safavy 1  
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TBI



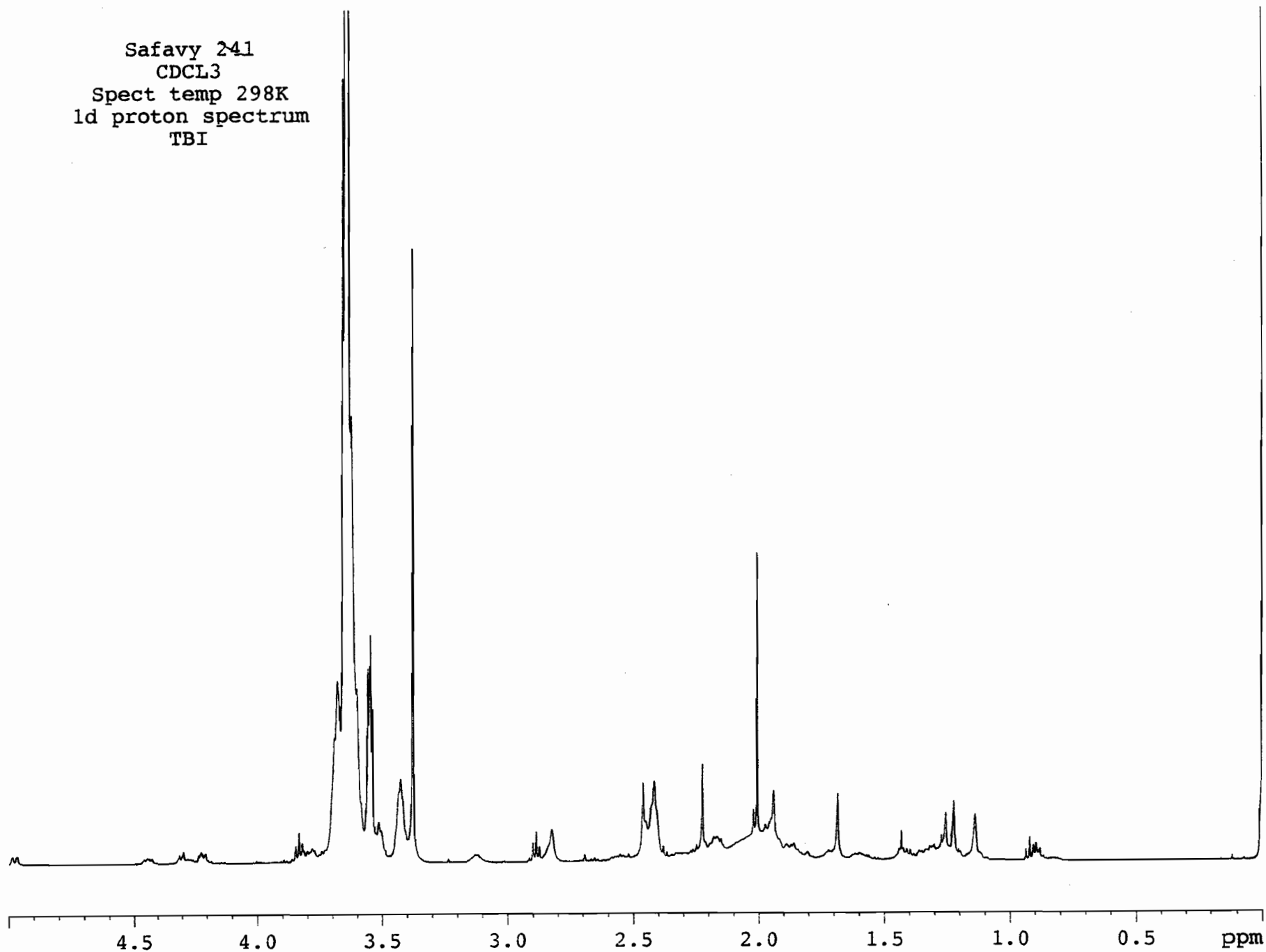
9a

Safavy 241  
CDCL3  
Spect temp 298K  
1d proton spectrum  
TBI



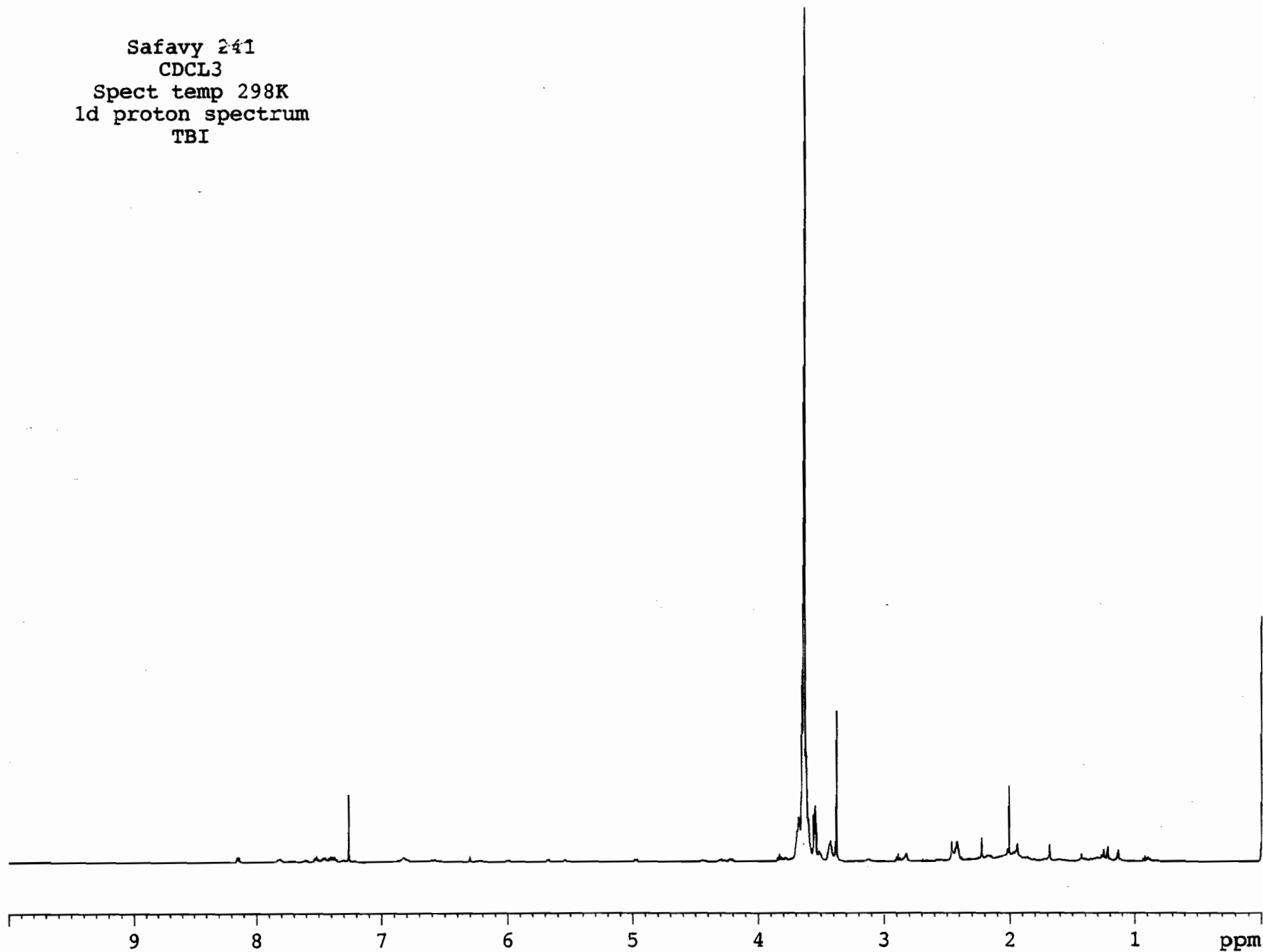
9a

Safavy 241  
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Spect temp 298K  
1d proton spectrum  
TBI



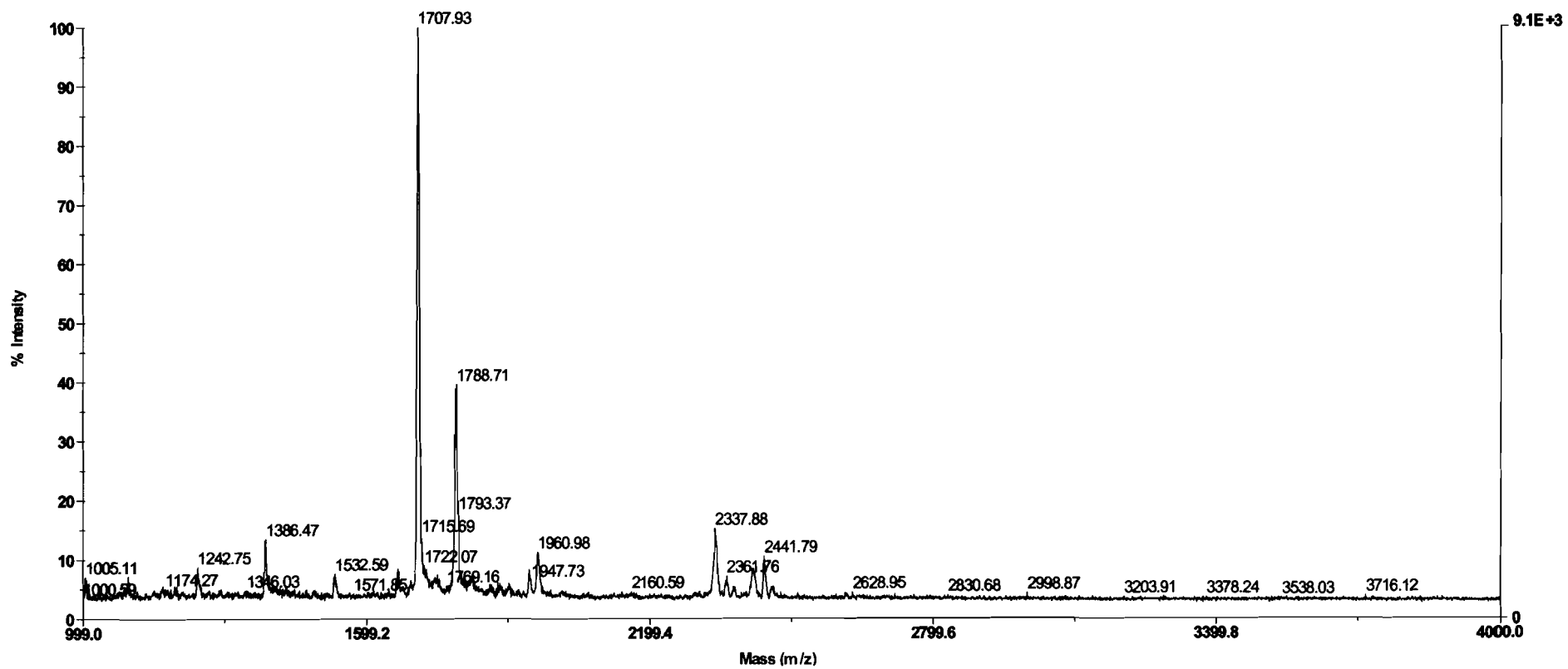
9a

Safavy 241  
CDCL3  
Spect temp 298K  
1d proton spectrum  
TBI



2

Spec #1 [BP= 1707.9,9073]



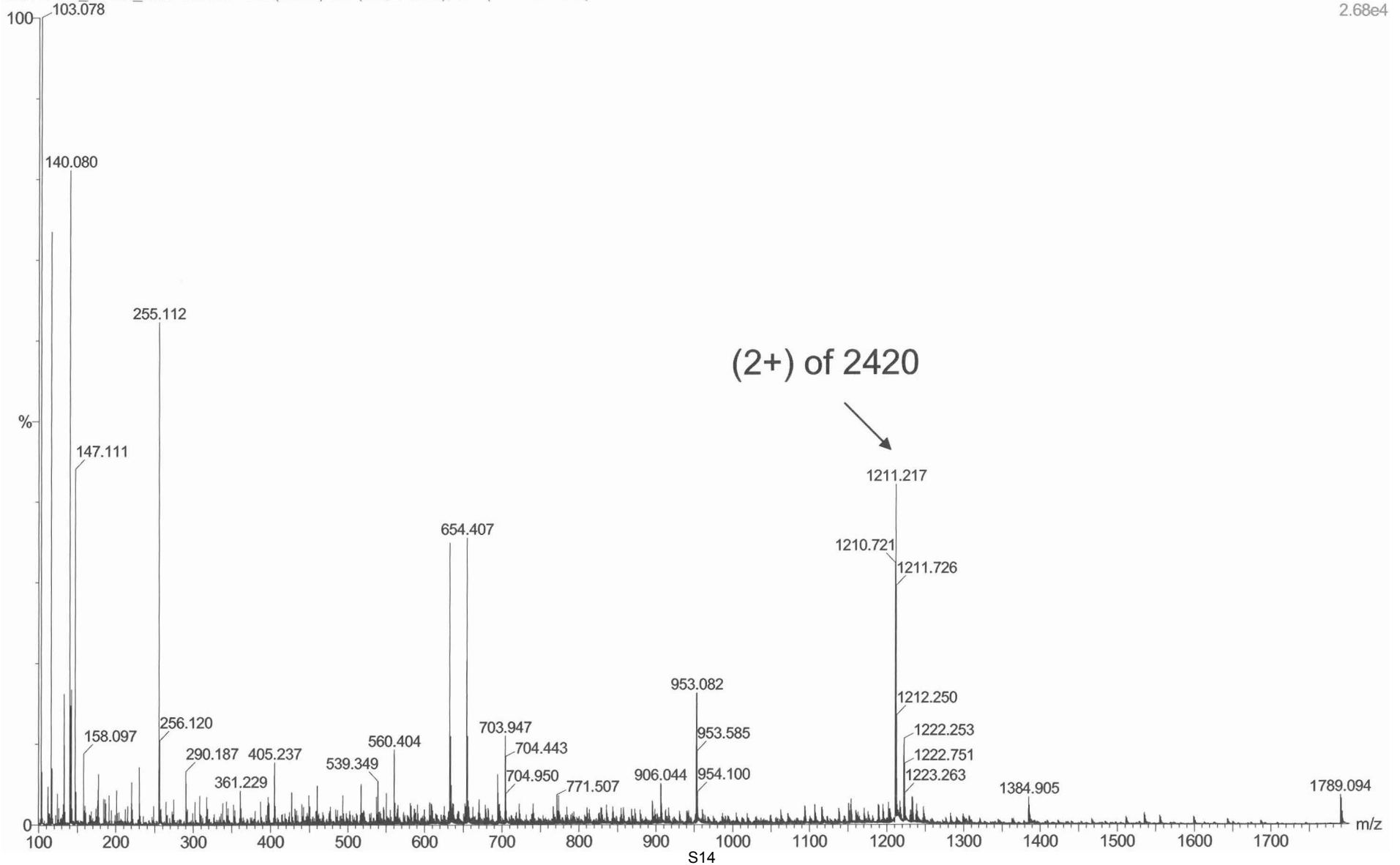
# 2 (ES MS)

18-Aug-2009 15:09:52

TOF MS ES+  
2.68e4

SAFAVY\_B\_DPEG\_NHS 081809 148 (5.050) Sm (Mn, 2x3.00); Cm (127:165-2:95)

(2+) of 2420



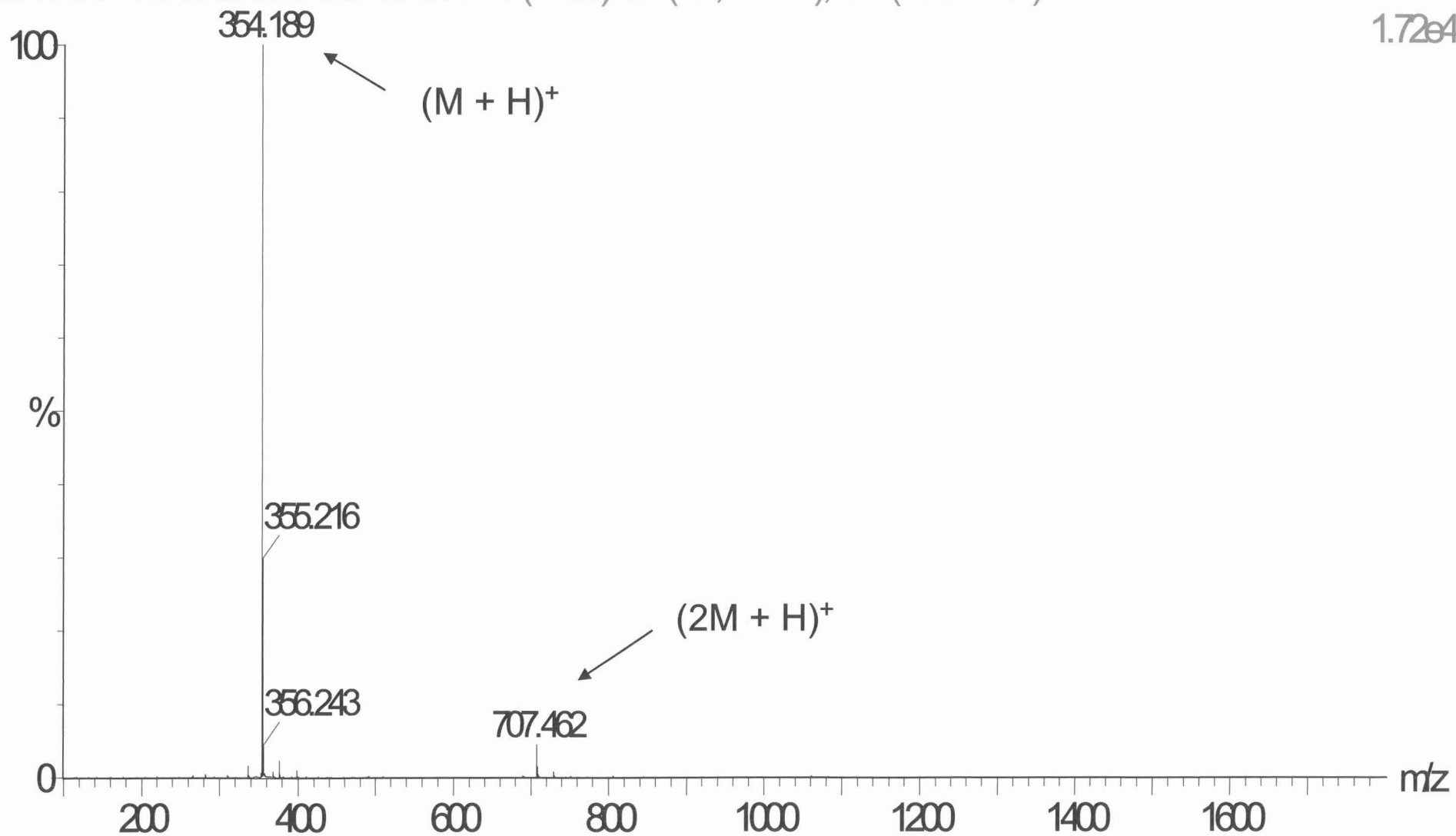
3 (ES MS)

18-Aug-2009 11:00:32

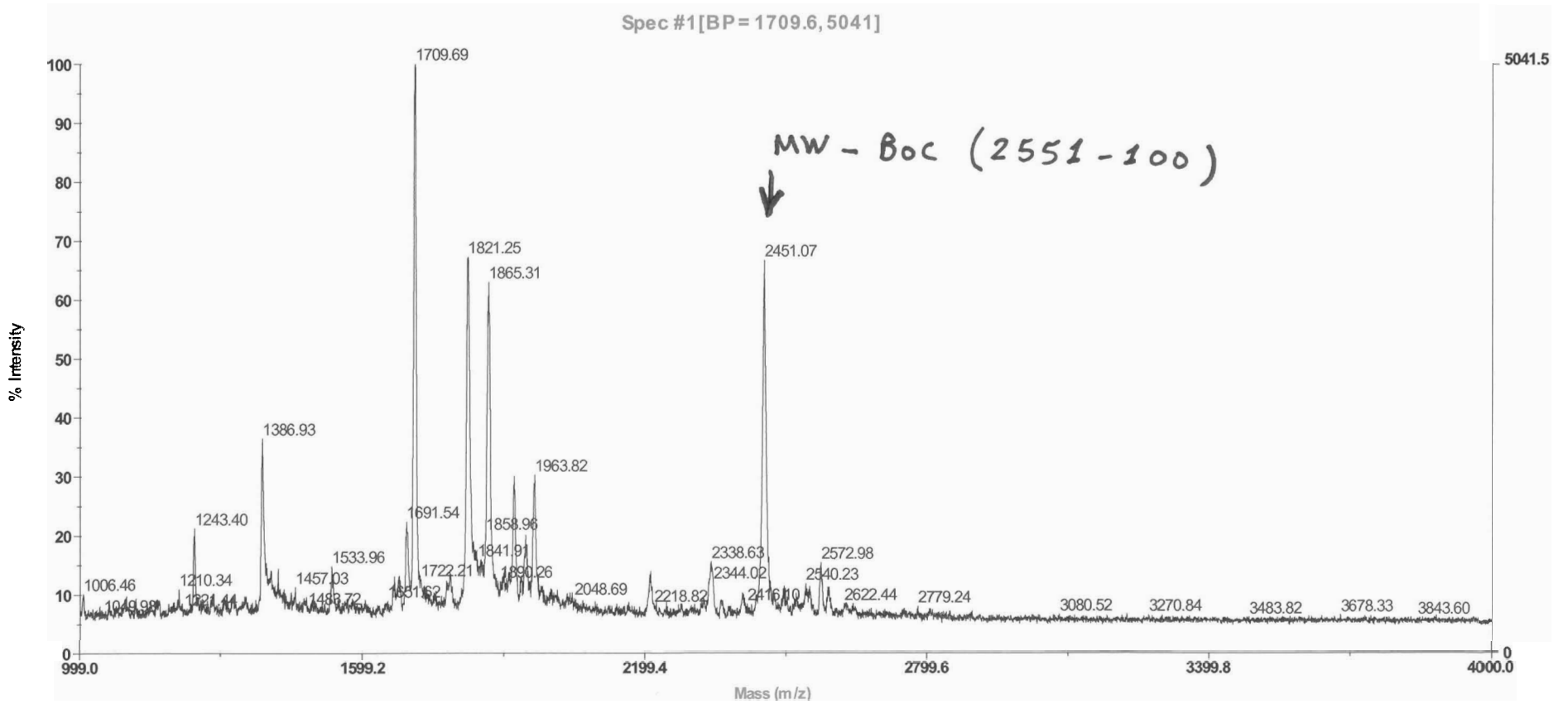
SAFAYAMINODPEGACID081809 73(2.493) Sm(Mh, 2x3.00); Cm(69.89-1:34)

TOF MS ES+

1.72e4

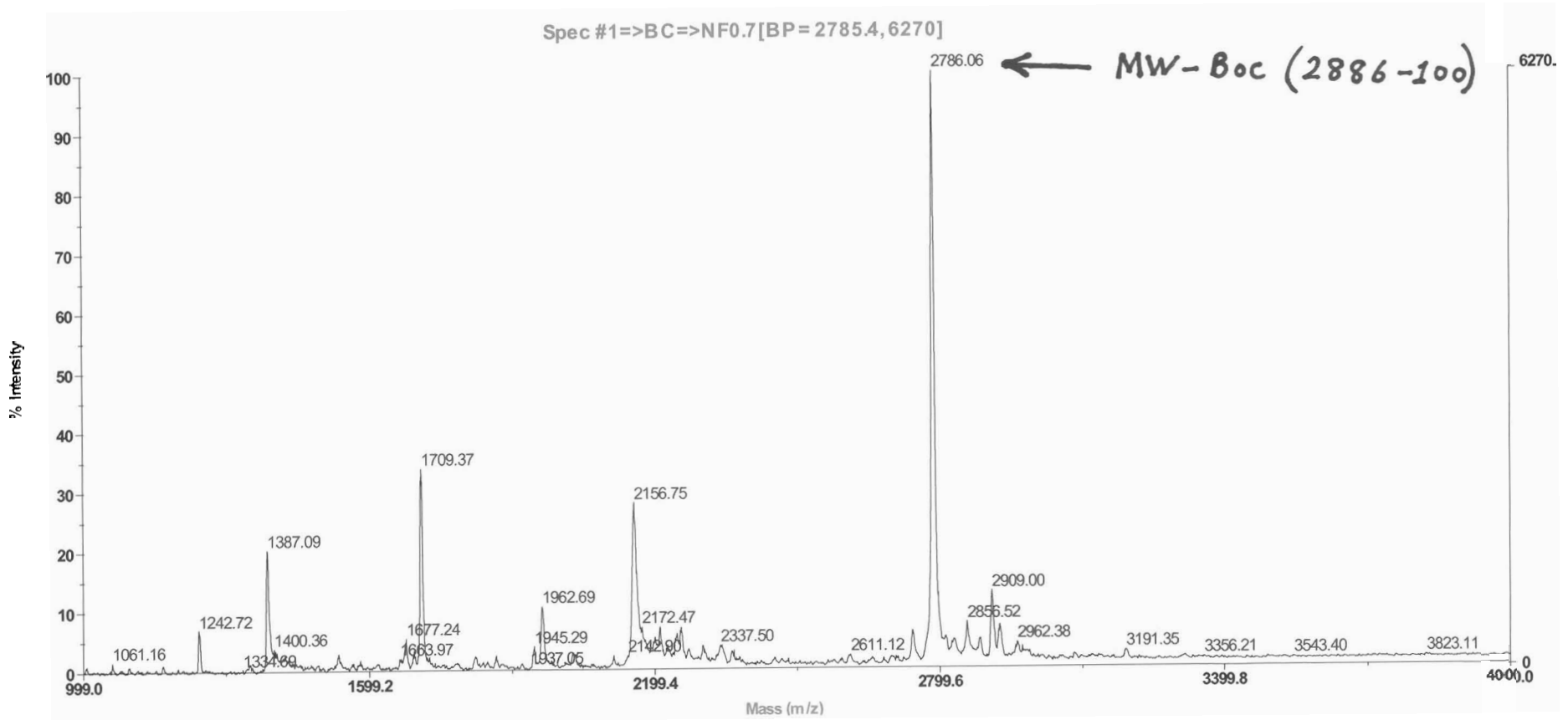


5

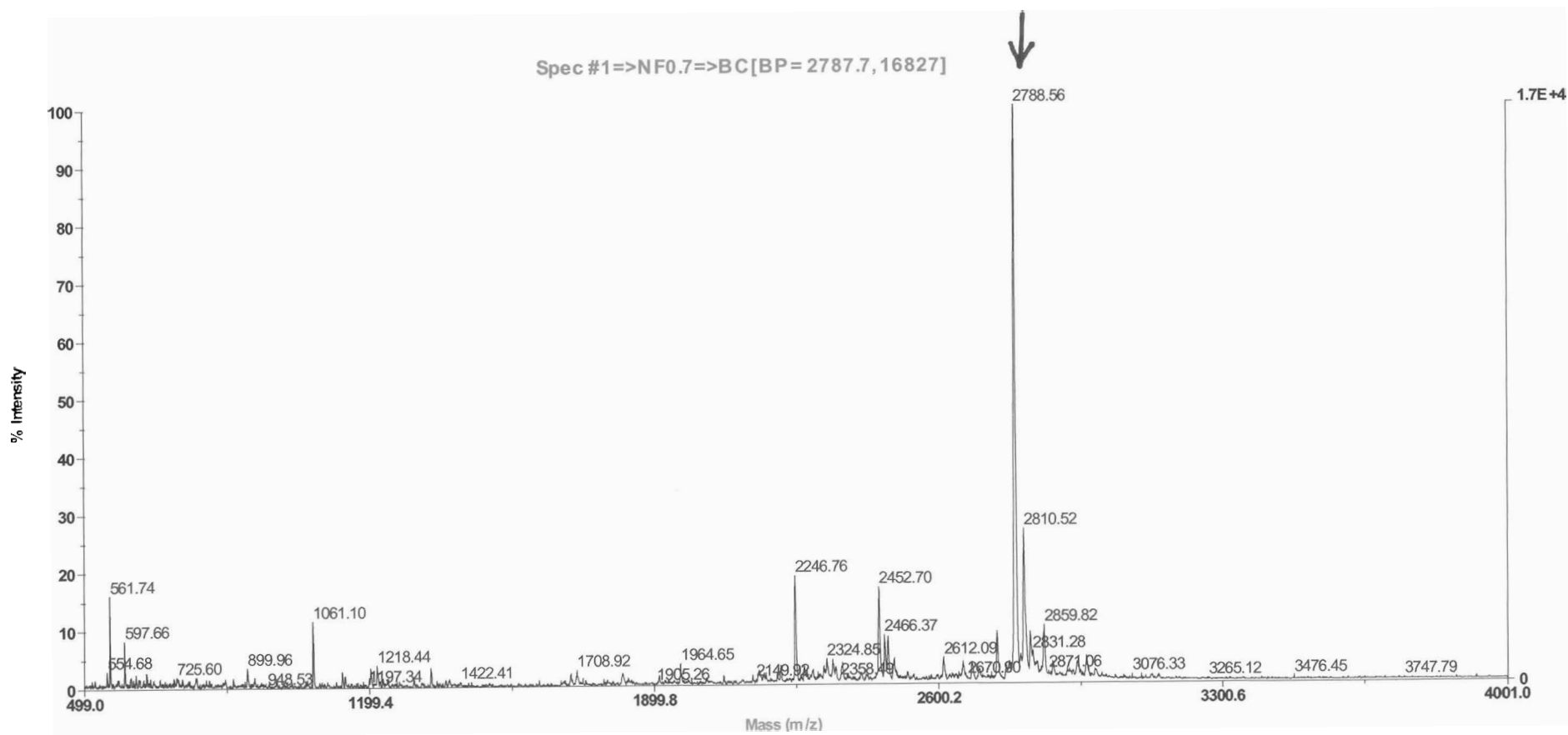




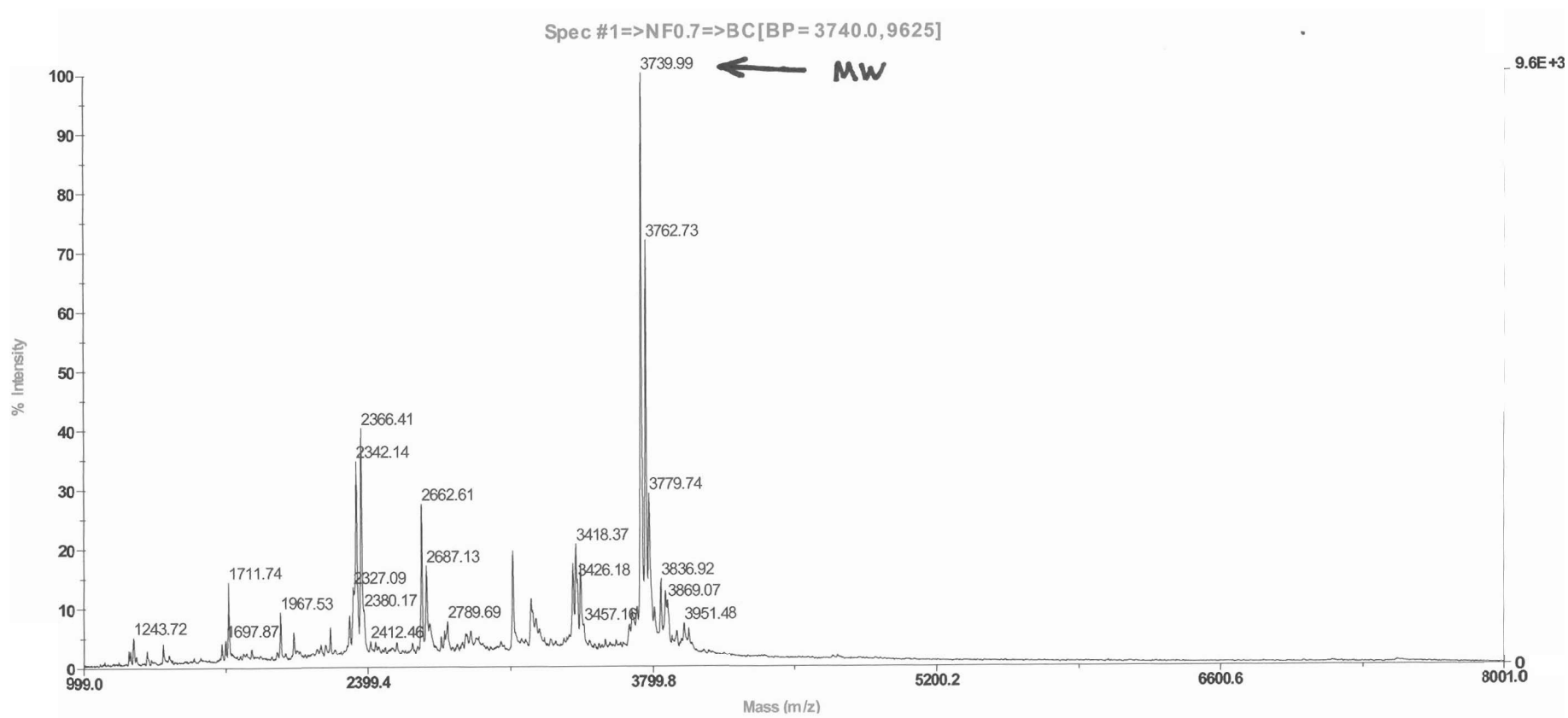
6



7

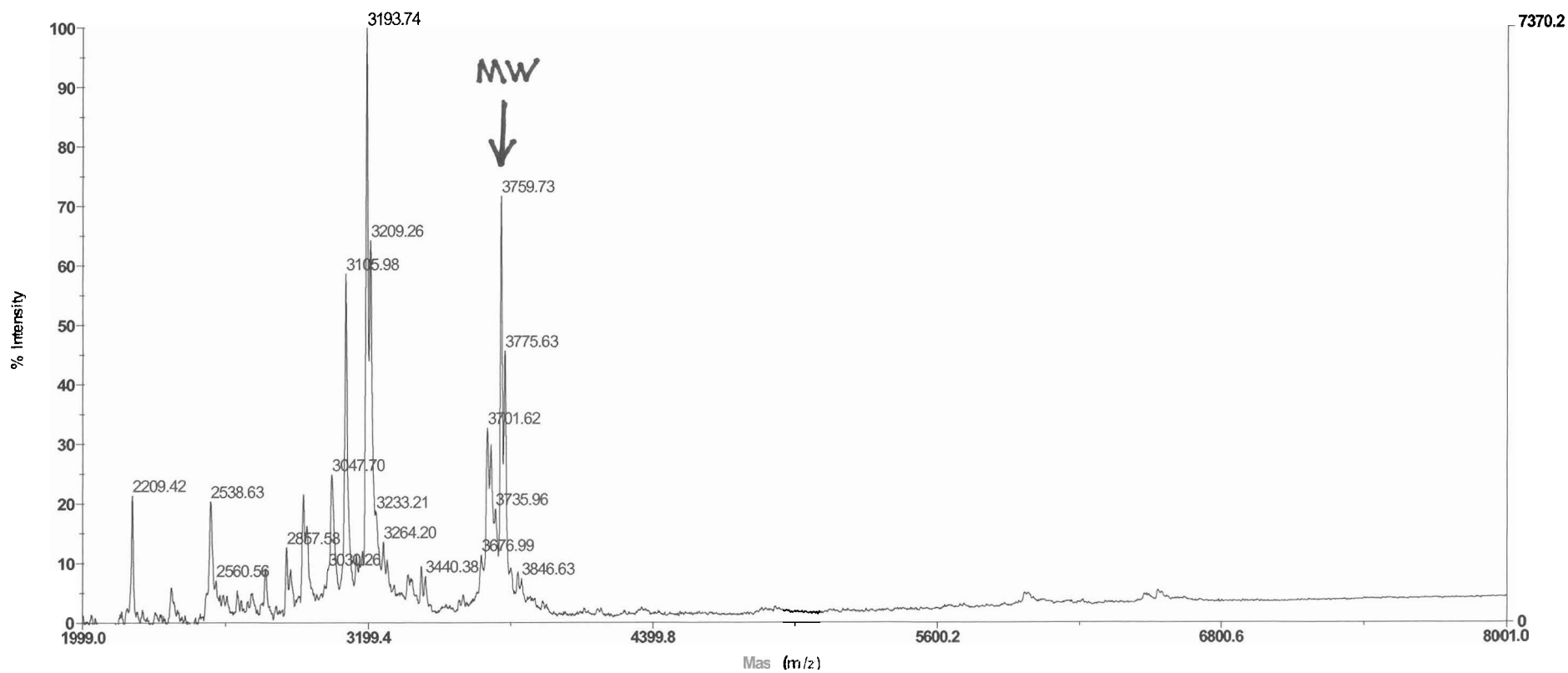


8a

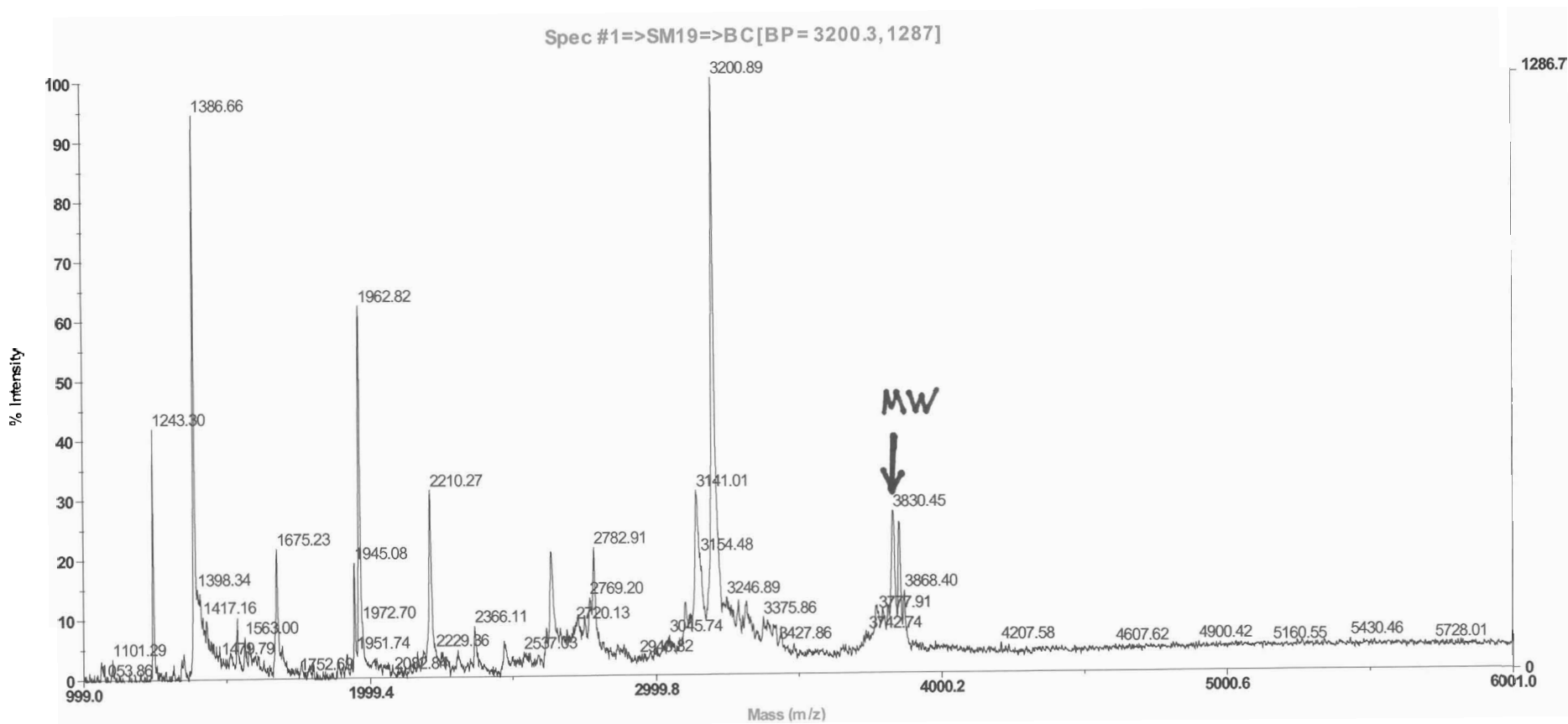


**8b**

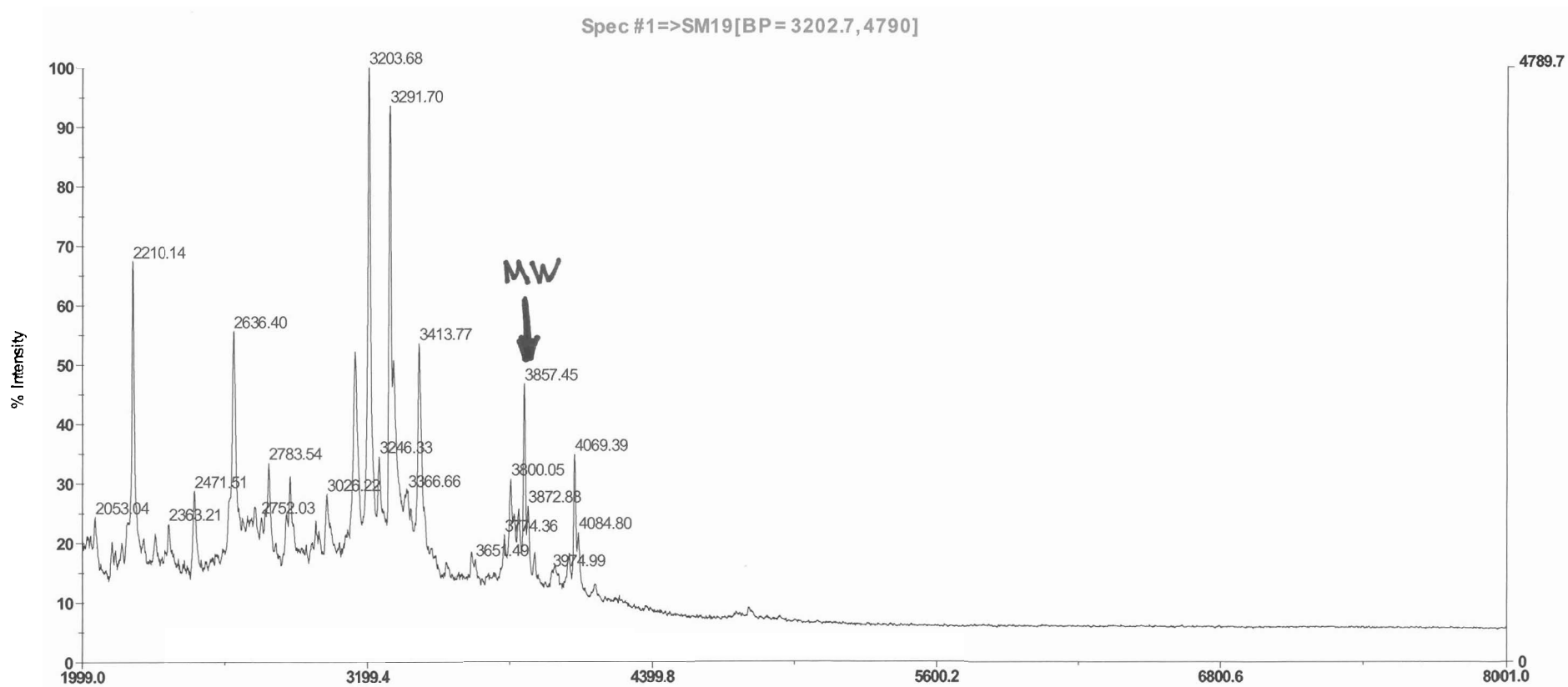
Spec #1=>SM190>BC[BP = 3193.4 7370]

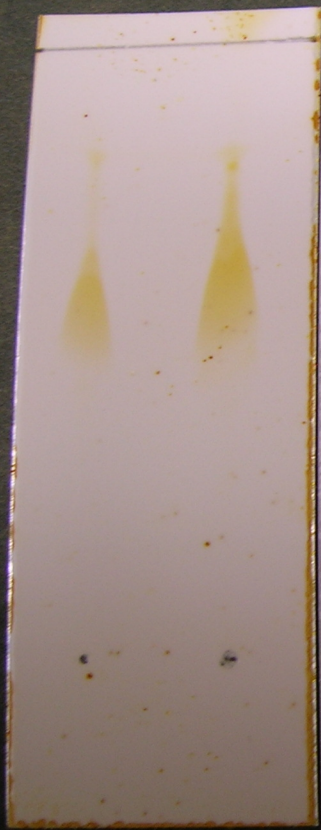


**9a**



**9b**





5

6



7

S23



8a

8b



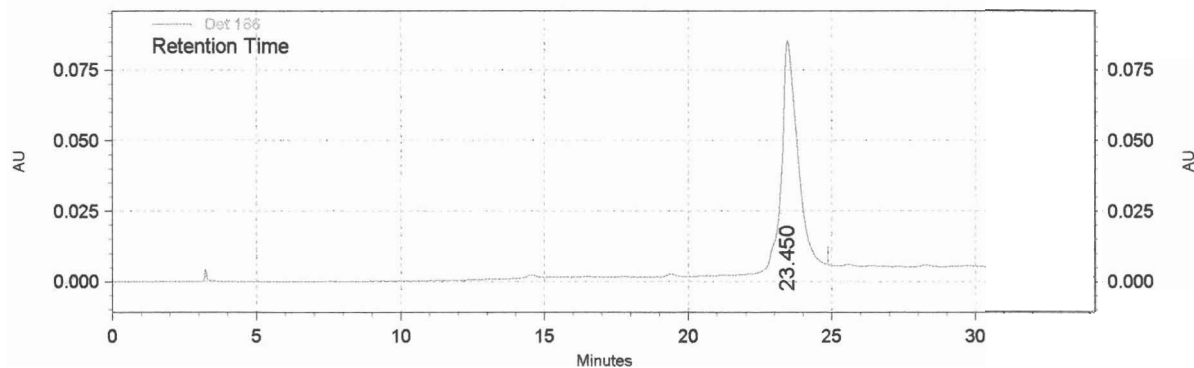
9a

9b

8a

### Area % Report

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Printed: 8/11/2009 10:59:27 AM



### Det 166 Results

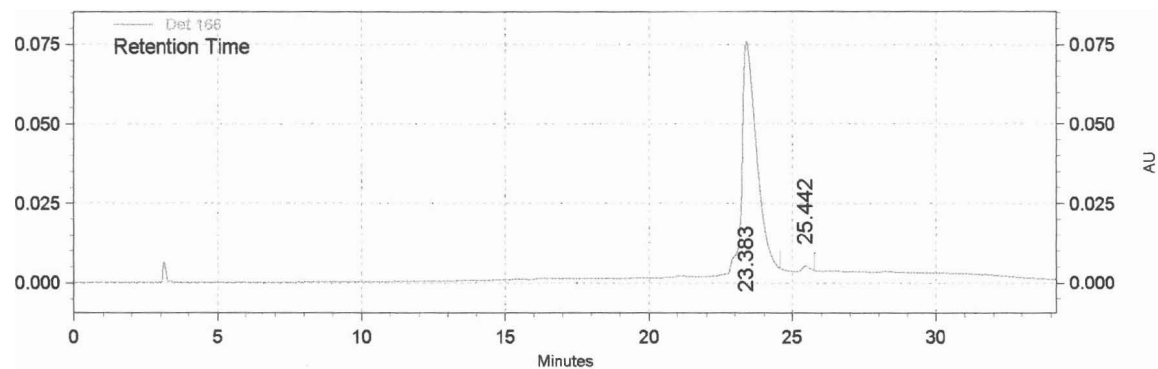
Time	Area	Area %	Height	Height %
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8b

### Area % Report

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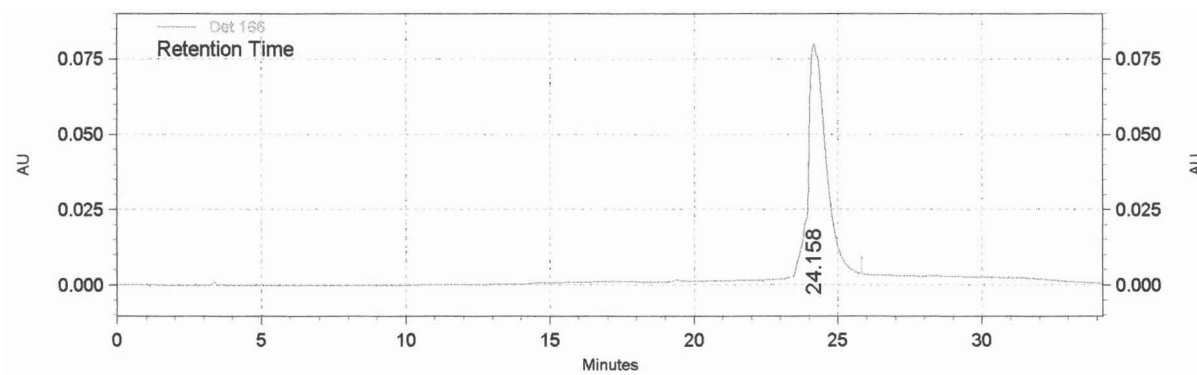
### Det 166 Results

Time	Area	Area %	Height	Height %
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9a

### Area % Report

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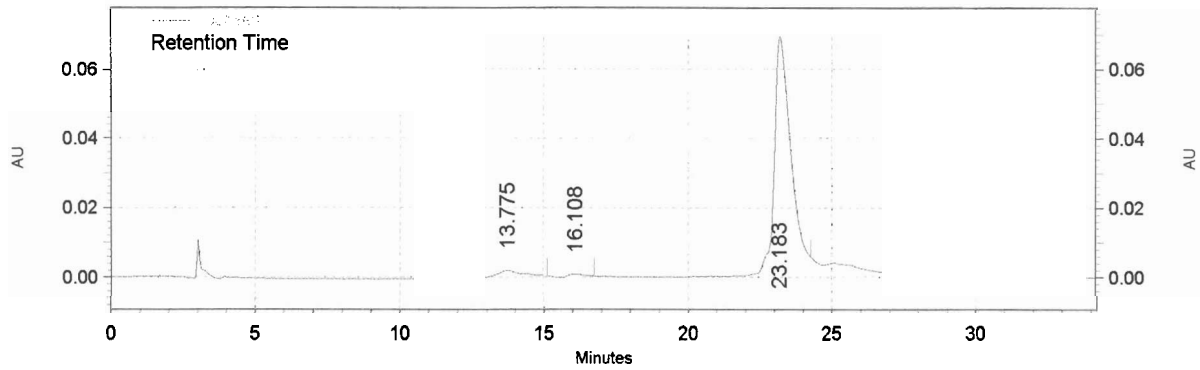
### Det 166 Results

Time	Area	Area %	Height	Height %
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96

**Area % Report**

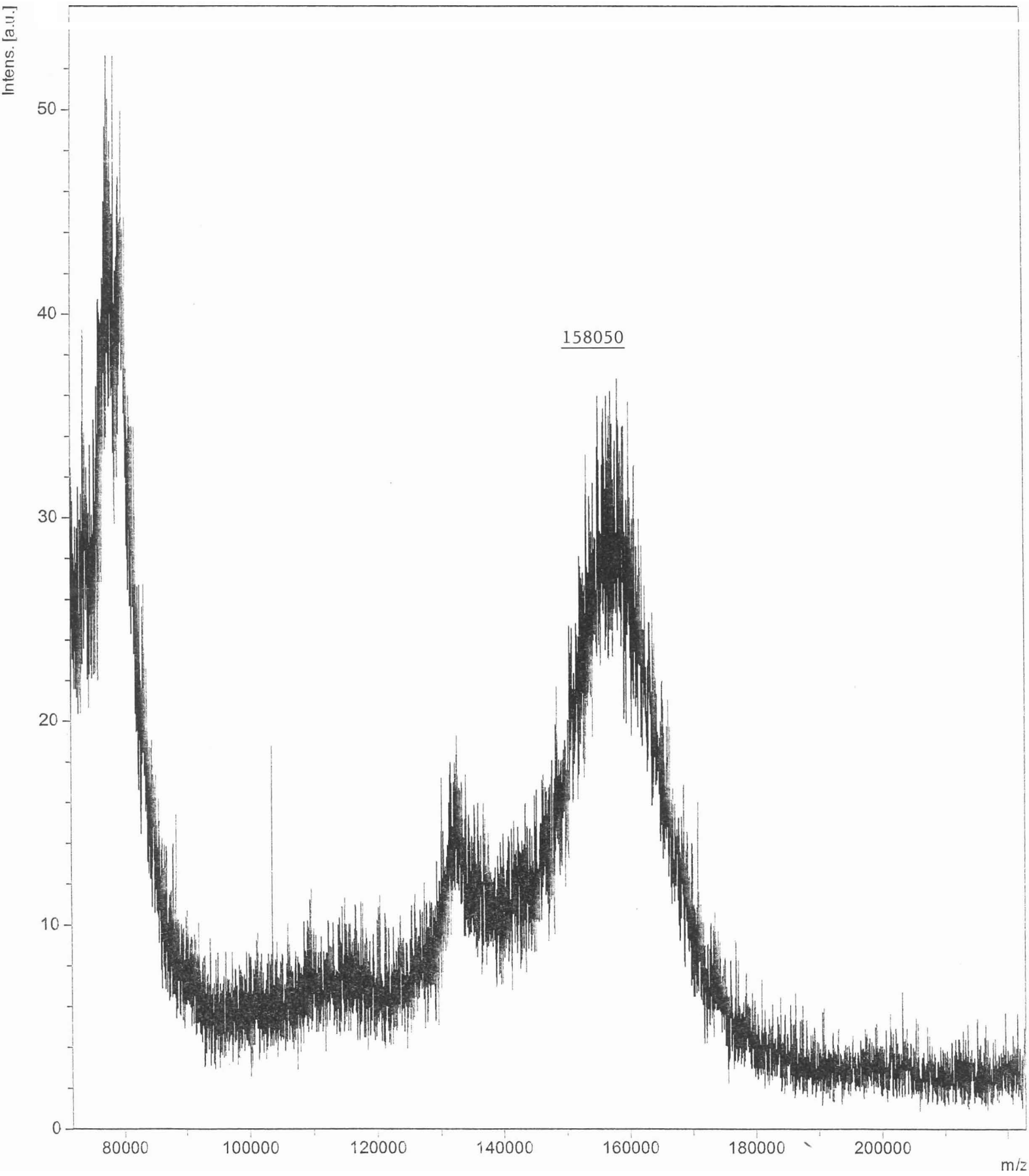
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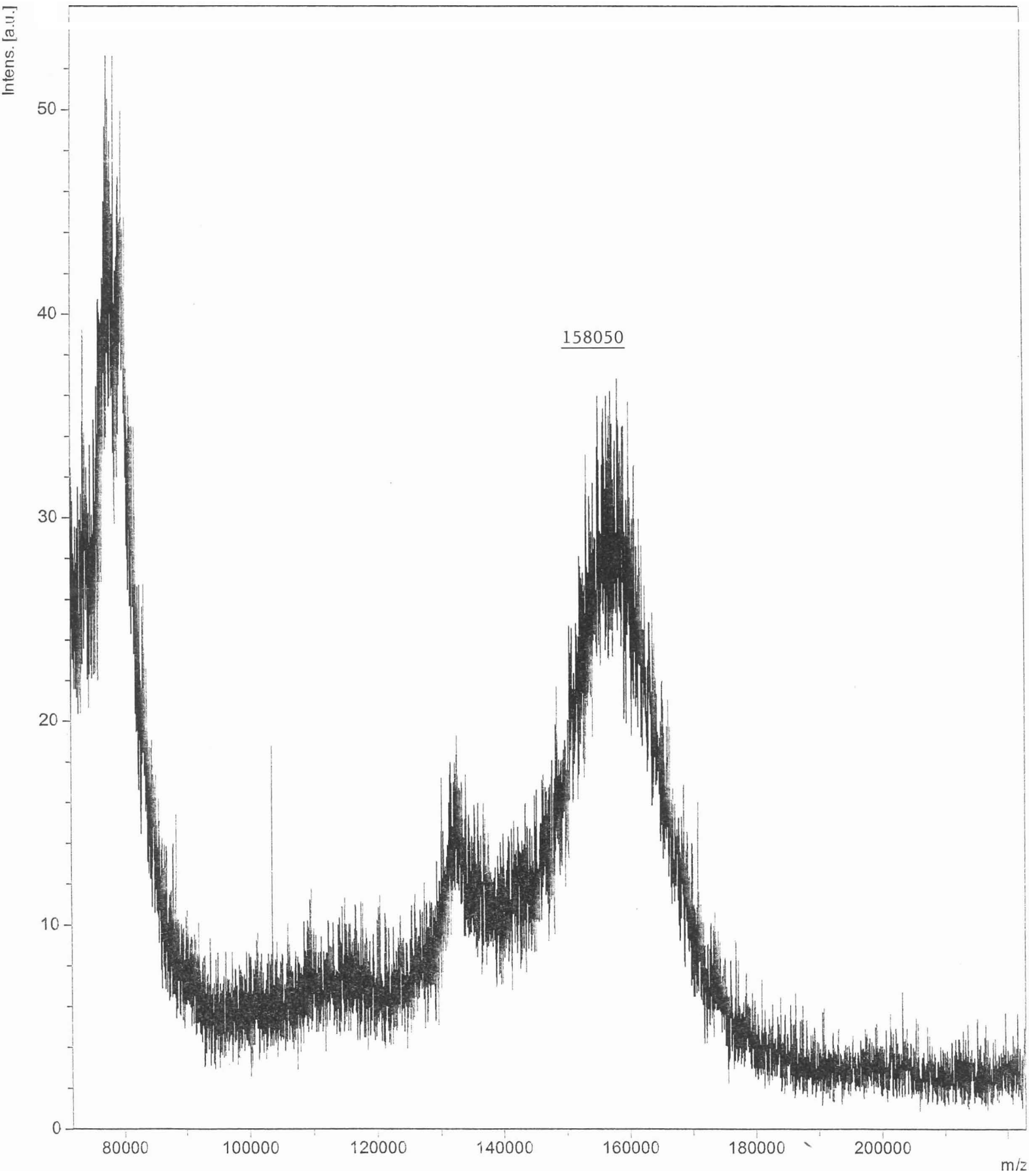
**Det 166 Results**

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16.108	24283	1.07	758	1.17

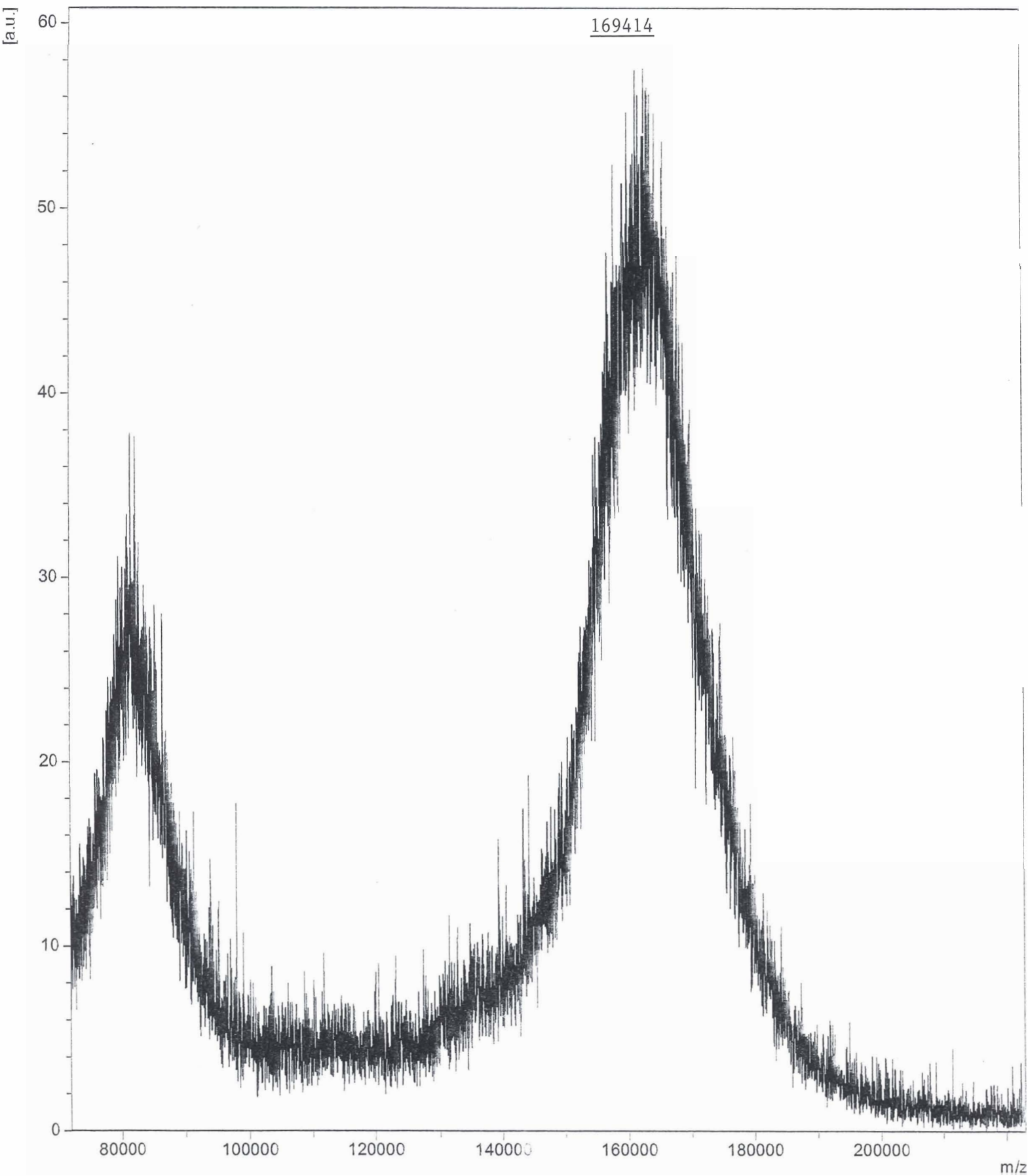
11e



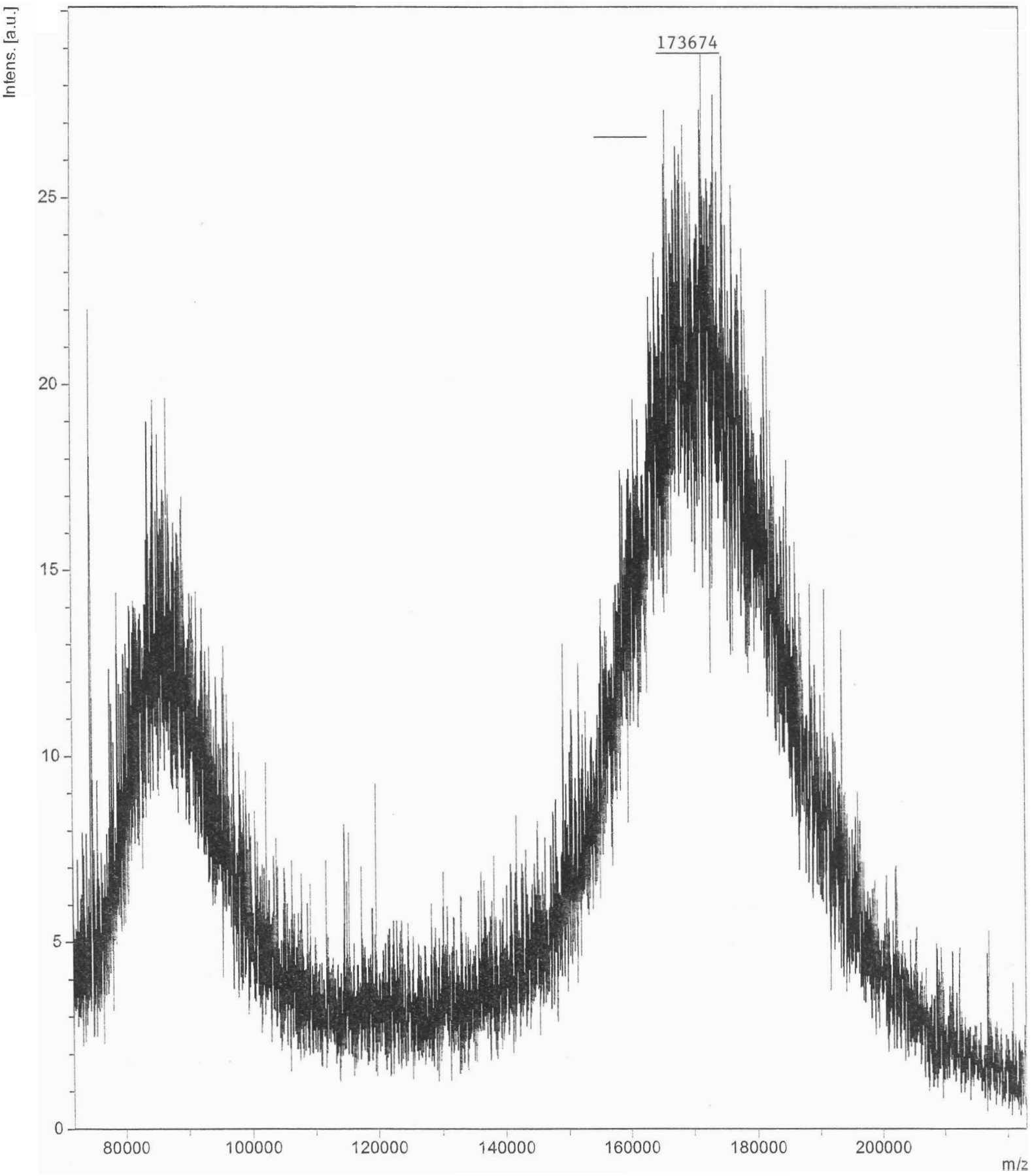
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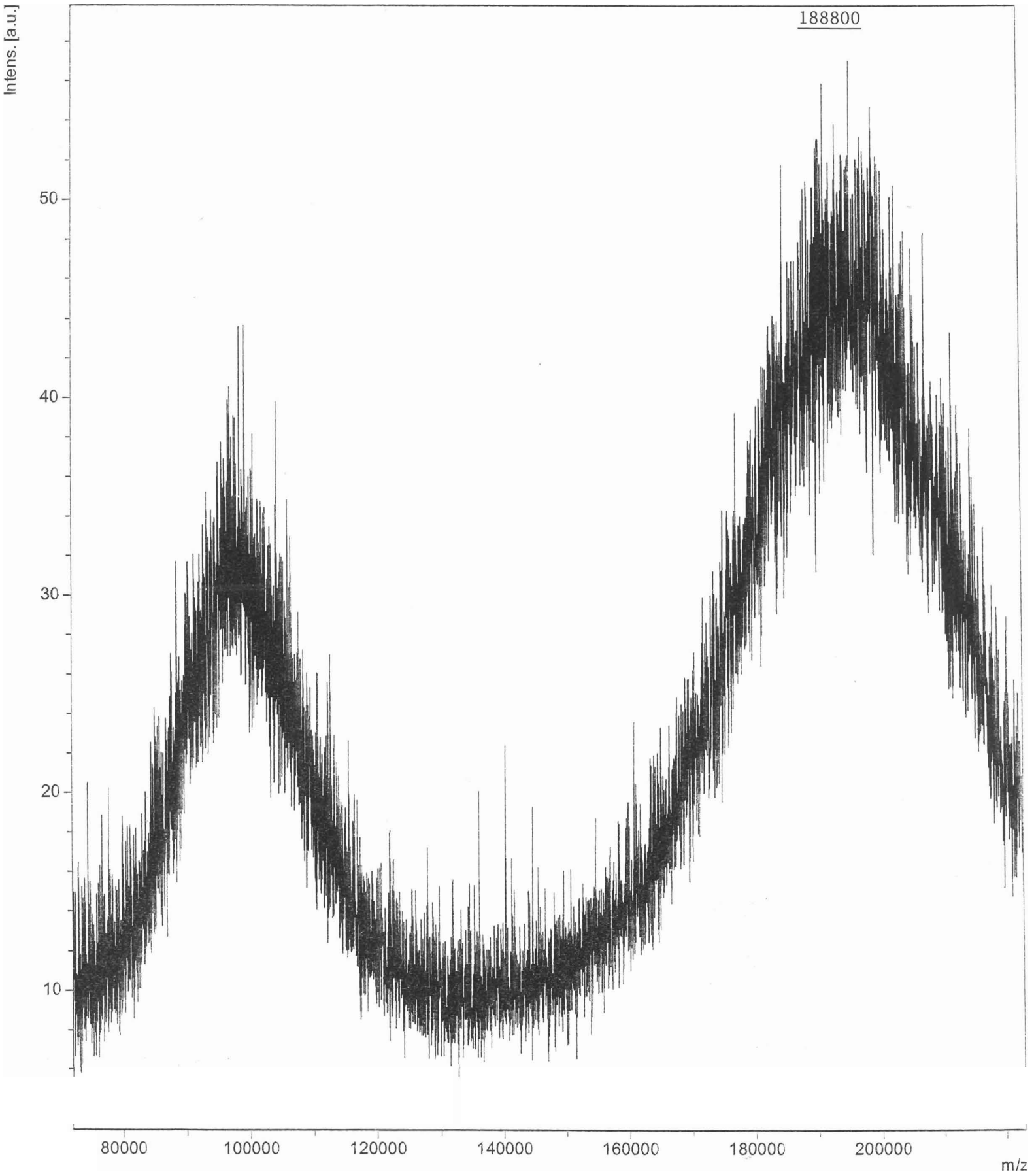
11f



118



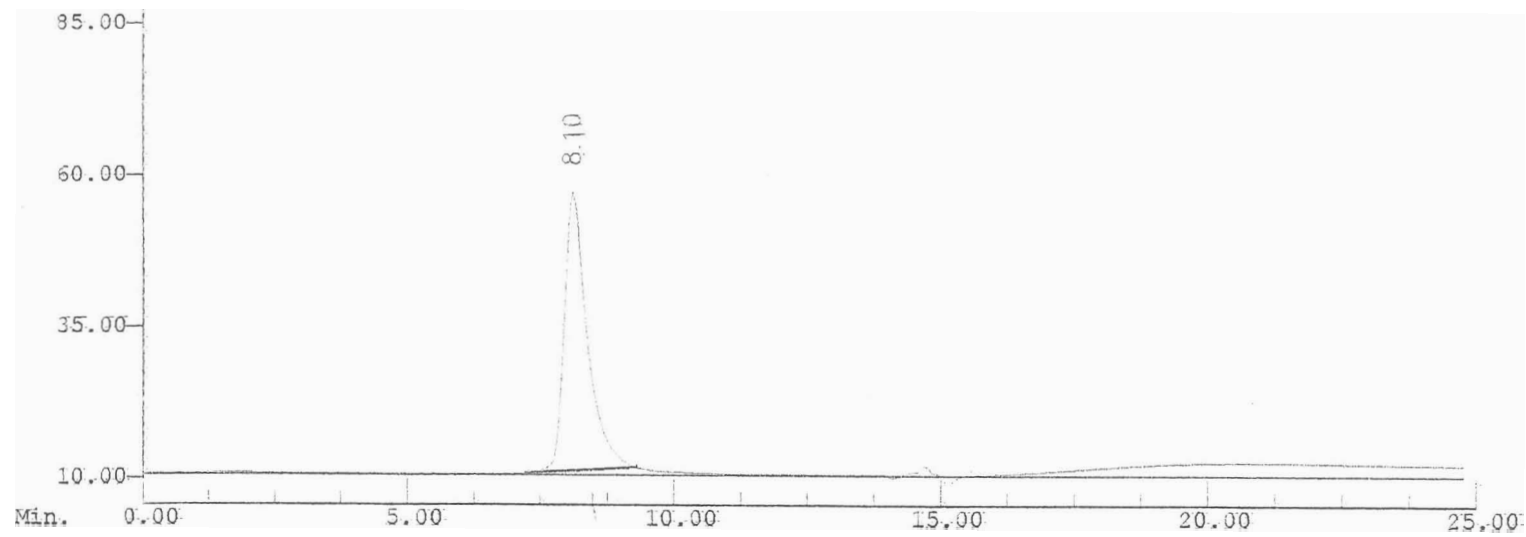
11h





C225

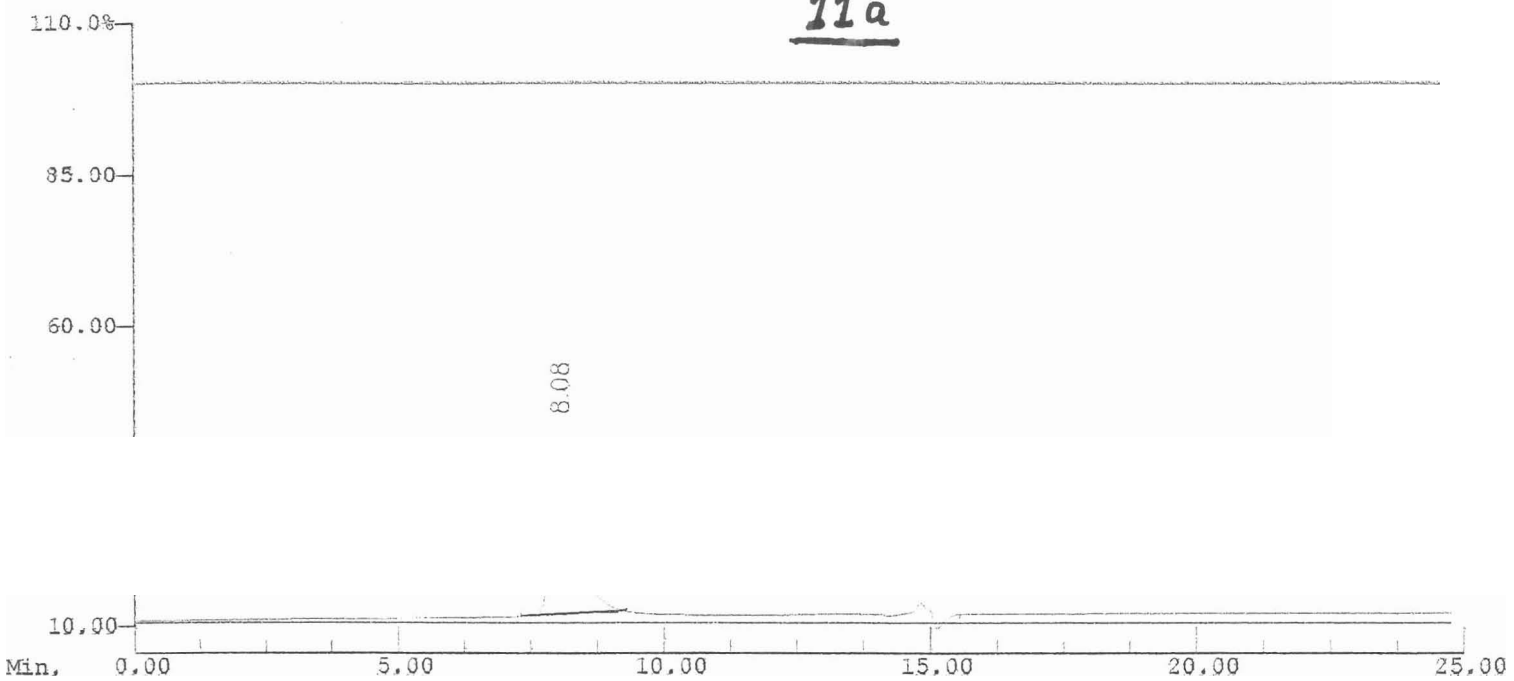
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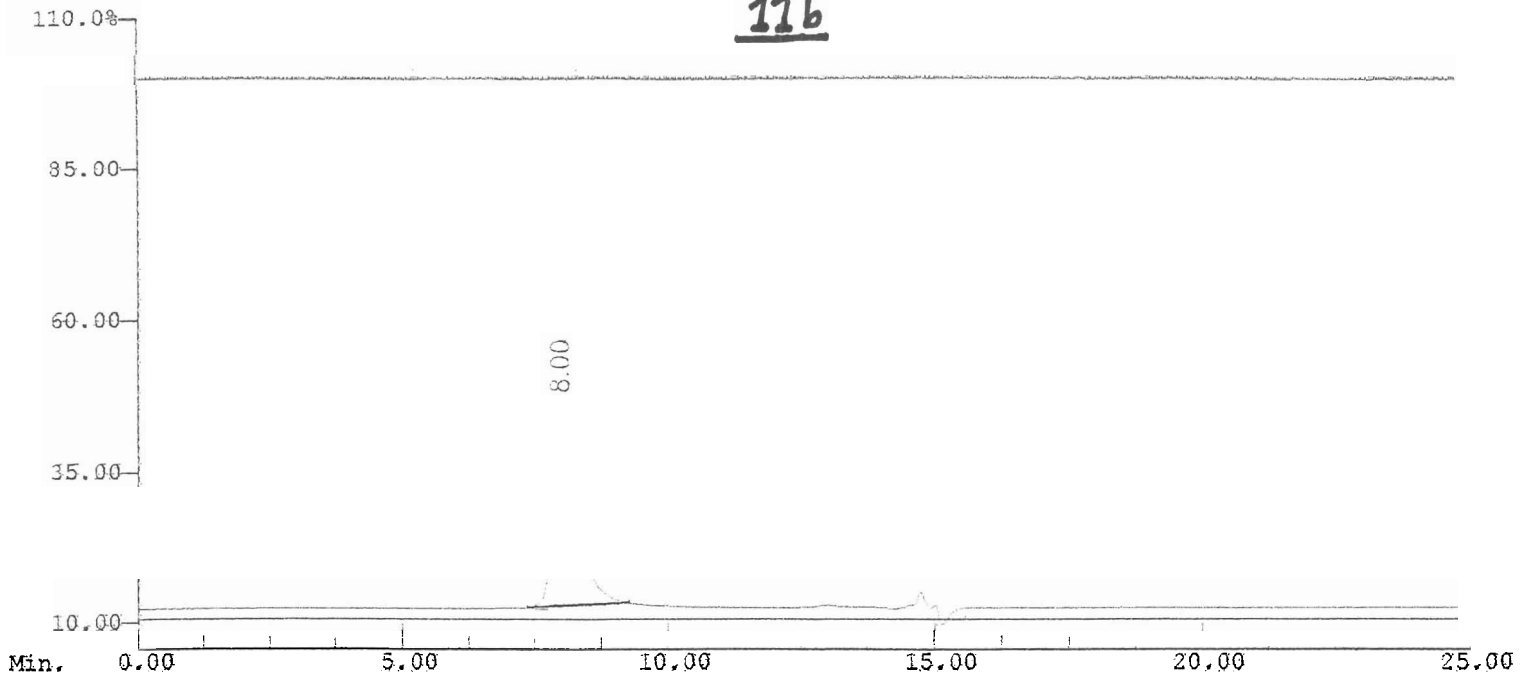
11a



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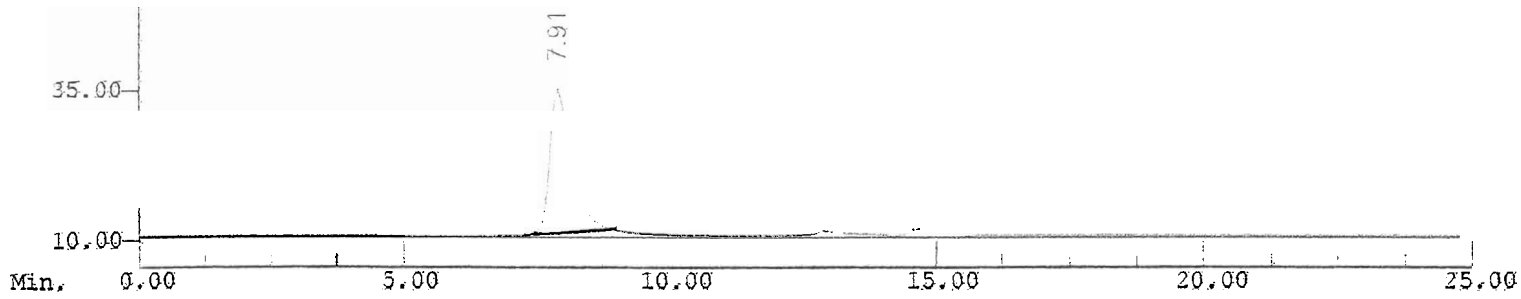
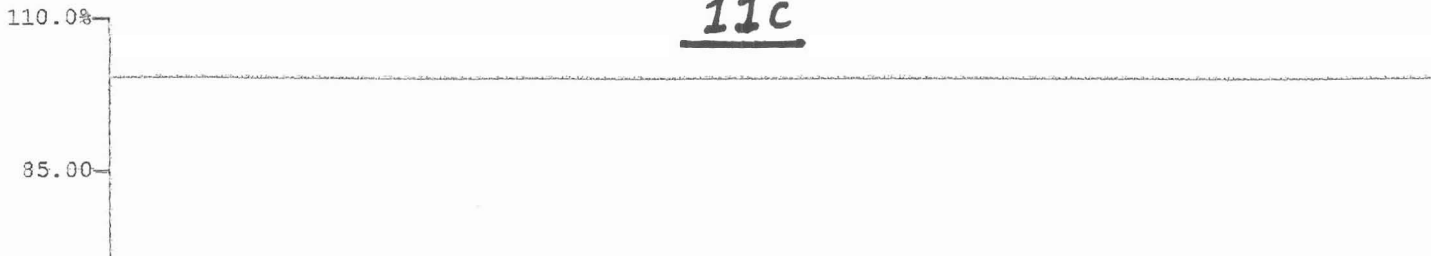
11b



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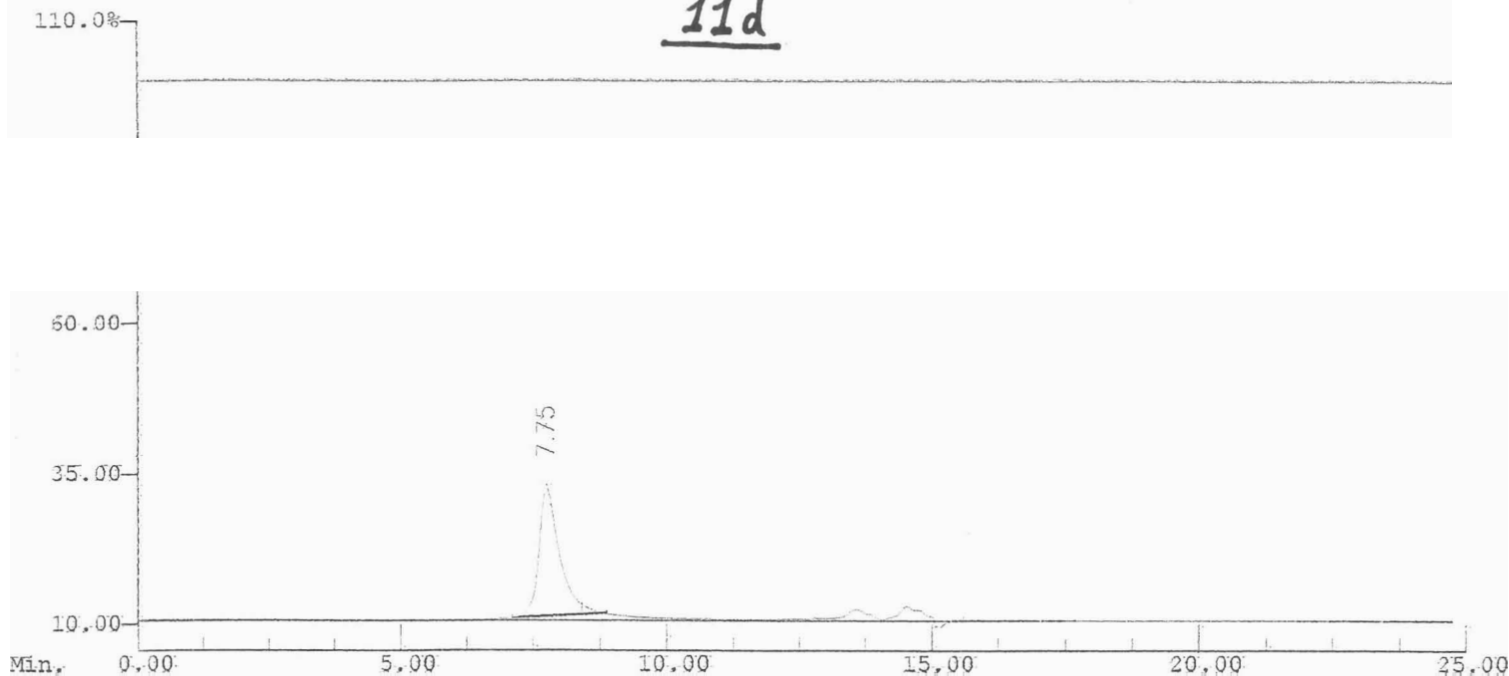
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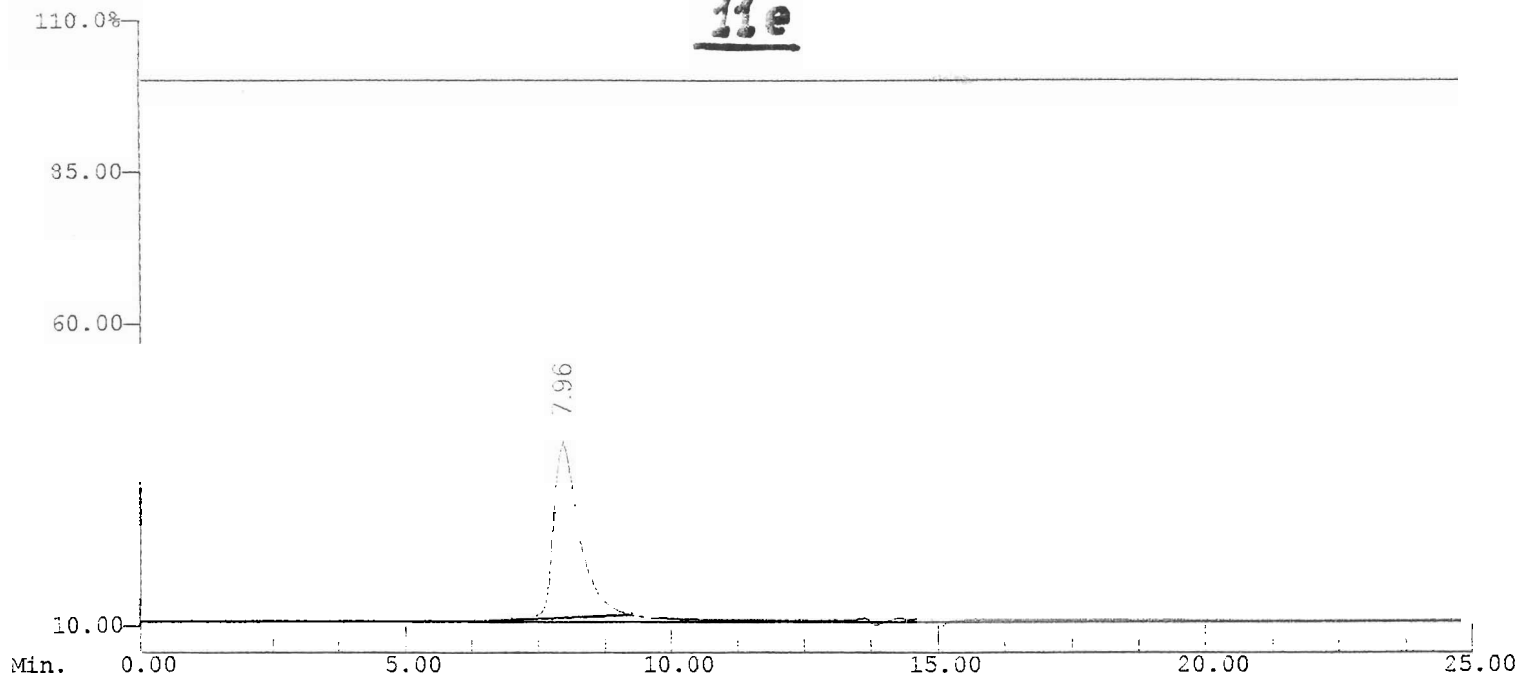
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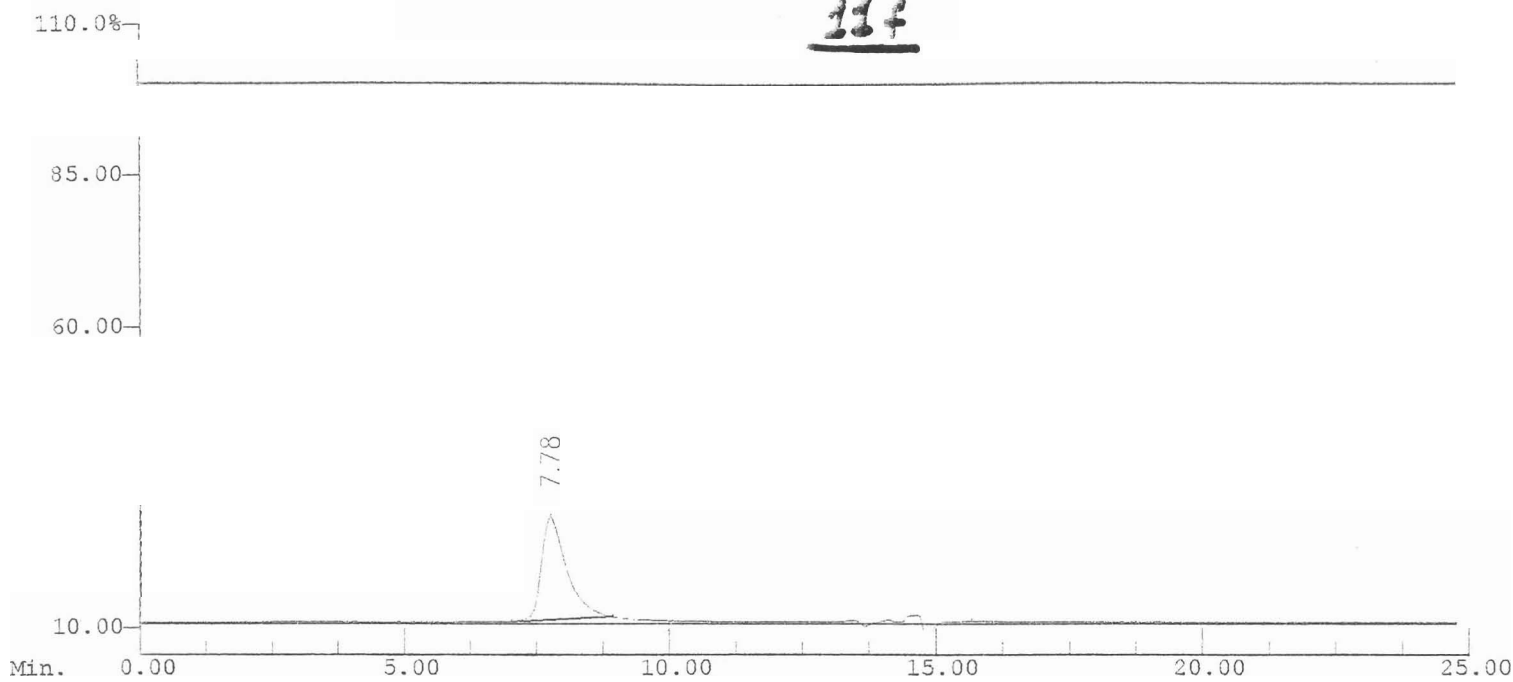
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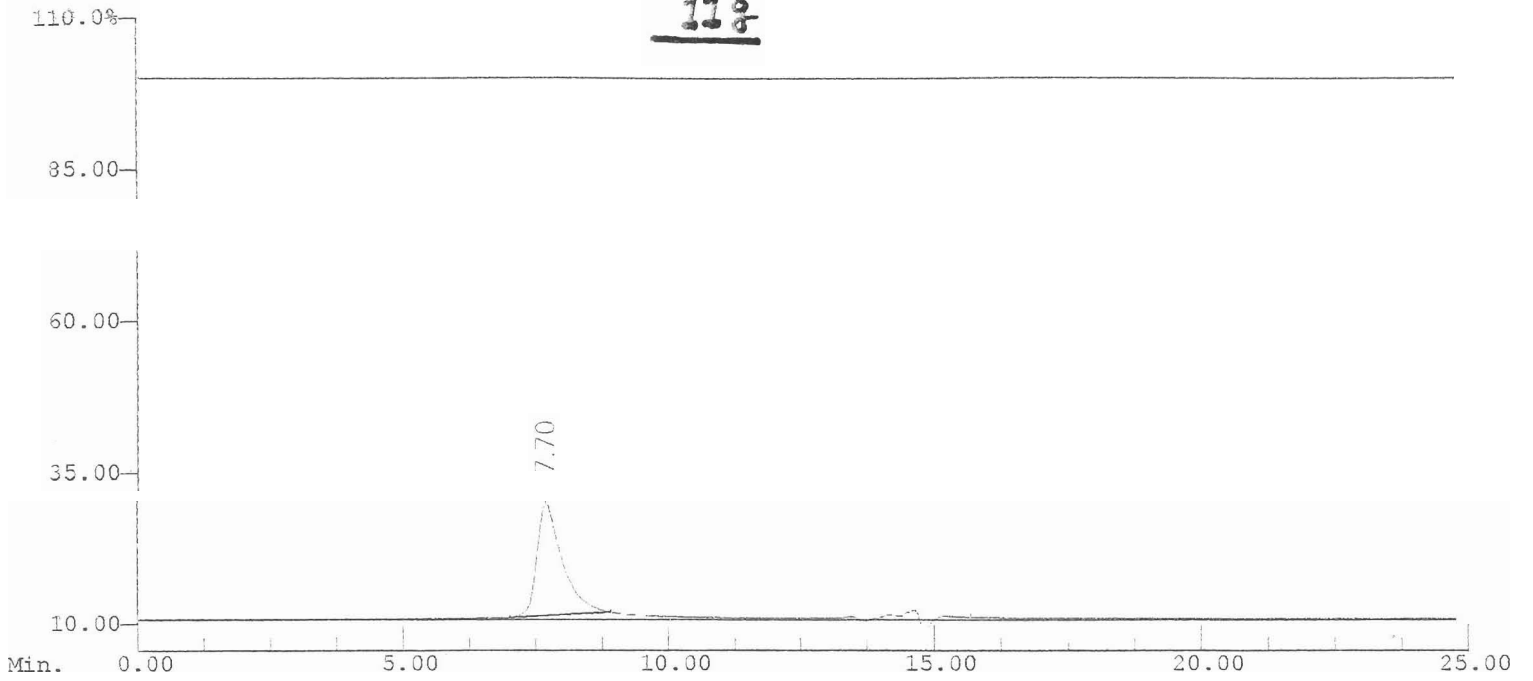
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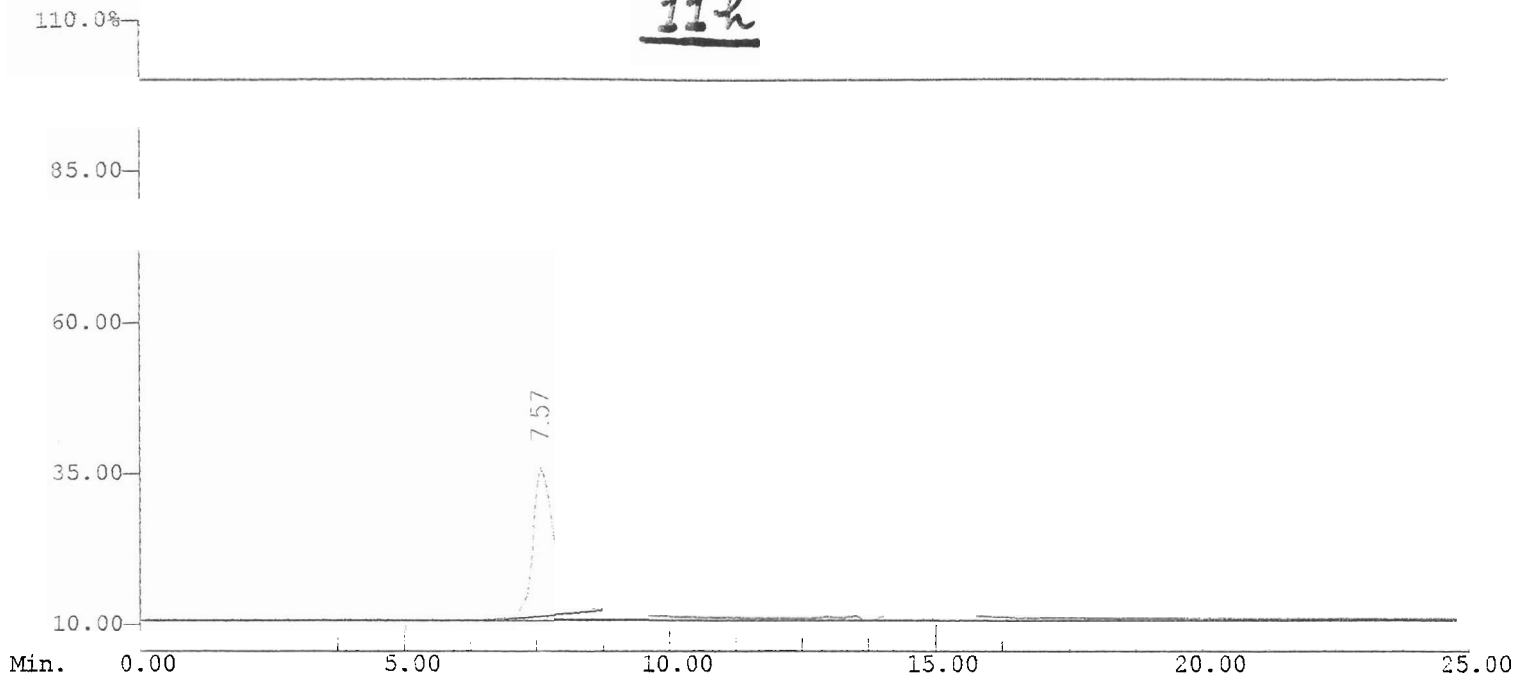


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