

Supplementary Materials

Effective Carrier-Free Gene-Silencing Activity of Sphingosine-Modified siRNAs

Charlene Fernandez¹, Ifrodet Georgees¹, Eva Goss² and Jean-Paul Desaulniers¹

¹ Faculty of Science, University of Ontario Institute of Technology, Oshawa, Ontario, L1G 0C5, Canada

²Synthose Inc. 50 Viceroy Road Unit 7, Concord, Ontario, L4K 3A7 Canada

Tables

Supplementary Table S1	2
------------------------------	---

Figures

Supplementary Figure 1	3
------------------------------	---

NMR Spectra

¹ H/ ¹³ C NMR Spectra of Compound 3	4-5
---	-----

¹ H/ ¹³ C NMR Spectra of Compound 4	6-7
---	-----

¹ H/ ¹³ C NMR Spectra of Compound 5	8-9
---	-----

¹ H/ ¹³ C NMR Spectra of Compound 6	10-11
---	-------

¹ H/ ¹³ C NMR Spectra of Compound 7	12-13
---	-------

¹ H/ ¹³ C NMR Spectra of Compound 8	14-16
---	-------

¹ H/ ¹³ C NMR Spectra of Compound 9	17-22
---	-------

¹ H/ ³¹ P NMR Spectra of Compound 10	23-24
--	-------

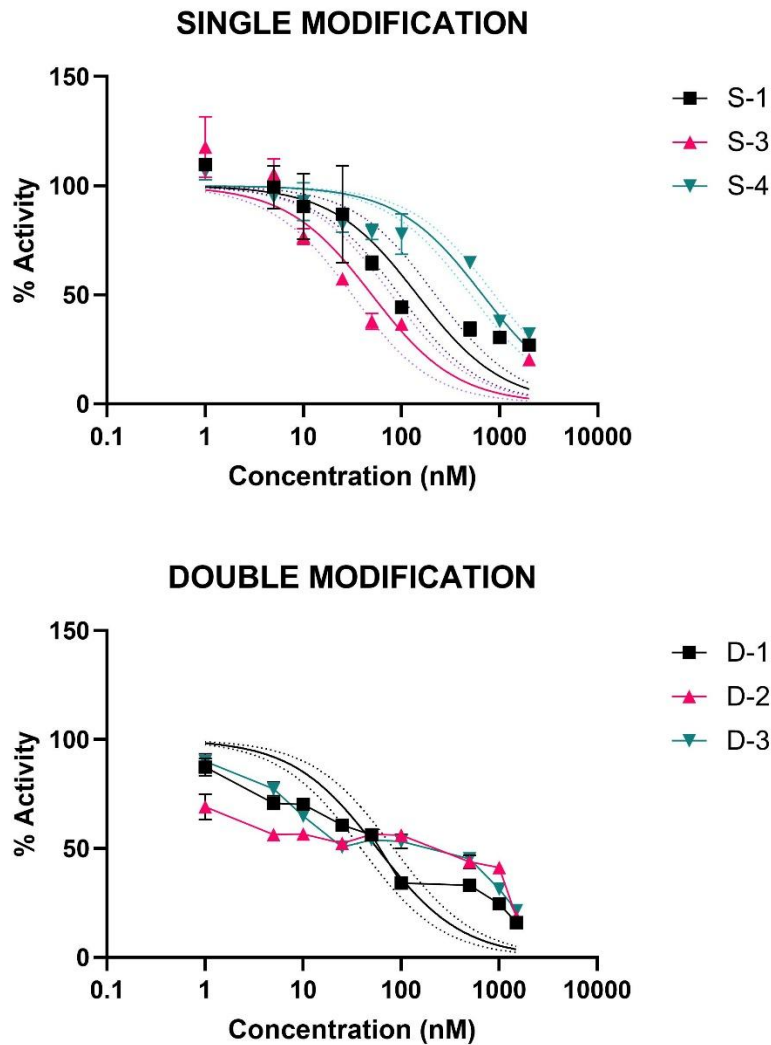
QTOF-LC/MS spectra	25-26
---------------------------------	-------

HPLC data	27
------------------------	----

Melting Temperature Curves	28
---	----

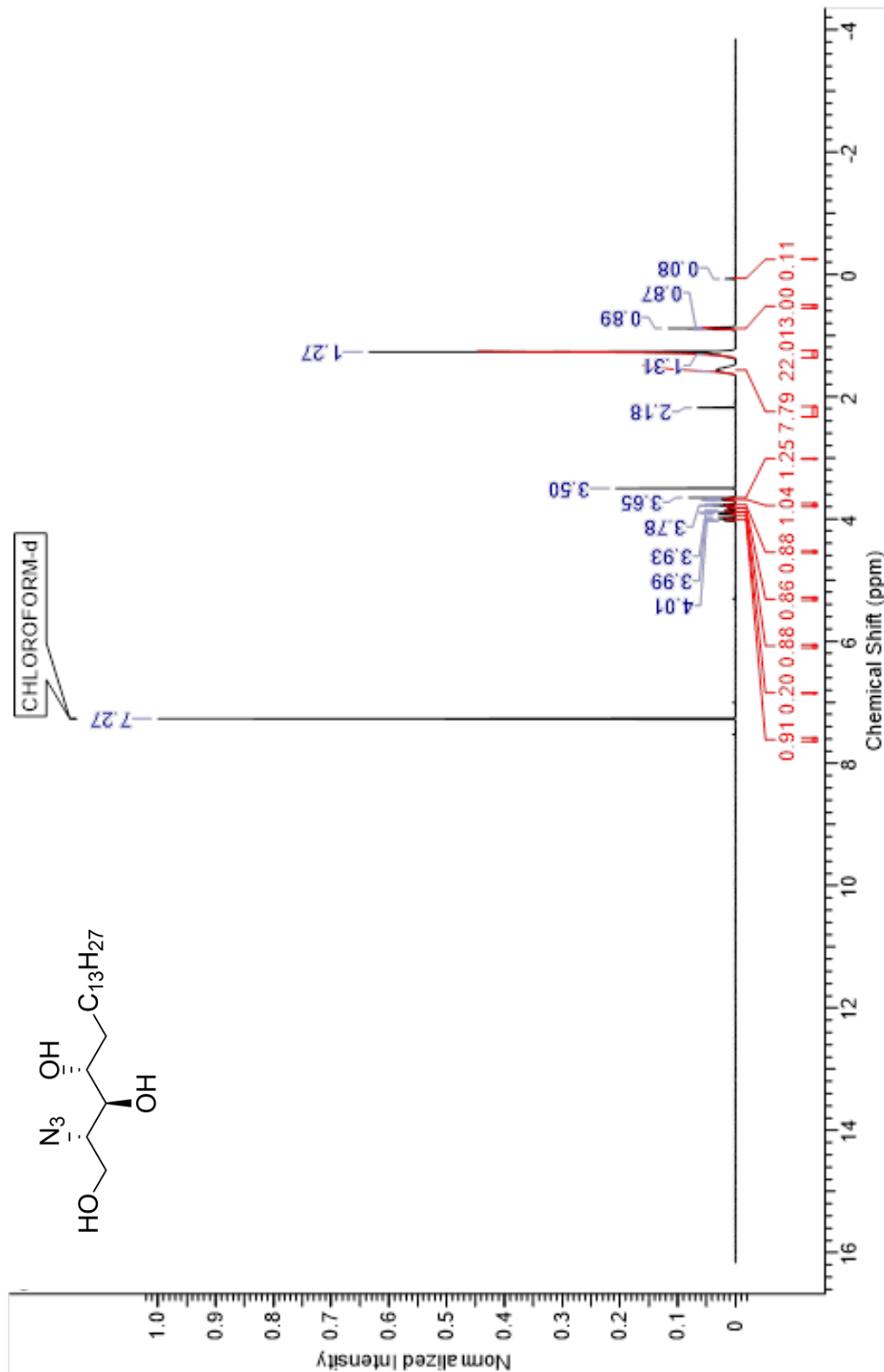
Supplementary Table S1: Negative ESI of single-strand sense and antisense strand oligonucleotides. **X** represents the propyl-triazole sphingosine modification.

Code	Sequence	m/z Calculated	m/z Found
S-1 sense	5' CUU ACG CUG AGU ACU UCG AX 3' (S)	6451.0936	6451.7883
S-2 sense	5' CUU ACG CUG AGU ACU UXG ATT 3' (S)	6756.1600	6755.5989
S-3 sense	5' CUU ACG CUG XGU ACU UCG ATT 3' (S)	6732.1444	6732.4007
S-4 sense	5' XUU ACG CUG AGU ACU UCG ATT 3' (S)	6756.1600	6756.4147
S-5 antisense	3' XG AAU GCG ACU CAU GAA GCU 5' (AS)	6619.1361	6619.4267

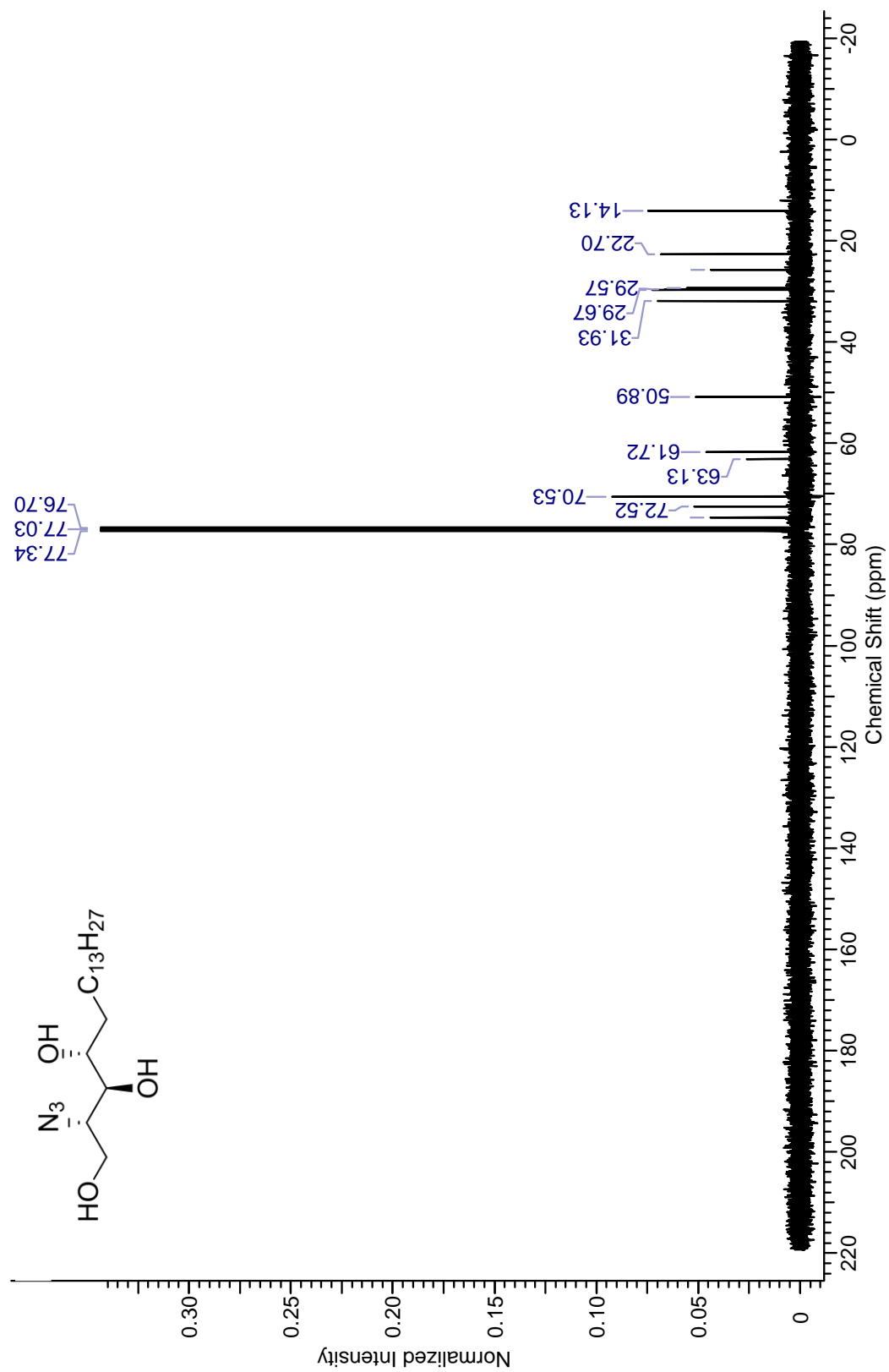


Supplementary Figure 1. Inhibitory dose-response curves for propyl-triazole sphingosine-conjugated siRNAs targeting exogenous firefly luciferase in HeLa cells following a carrier-free transfection protocol. **Top:** Nonlinear regression curve of single-modified siRNAs; S-1, S-3, and S-4 with 95% CI. Average of 3 technical replicates and 3 biological replicates. **Bottom:** Nonlinear regression curve of double-modified siRNAs; D-1 to D-3 with 95% CI. Average of 2 technical replicates and 3 biological replicates.

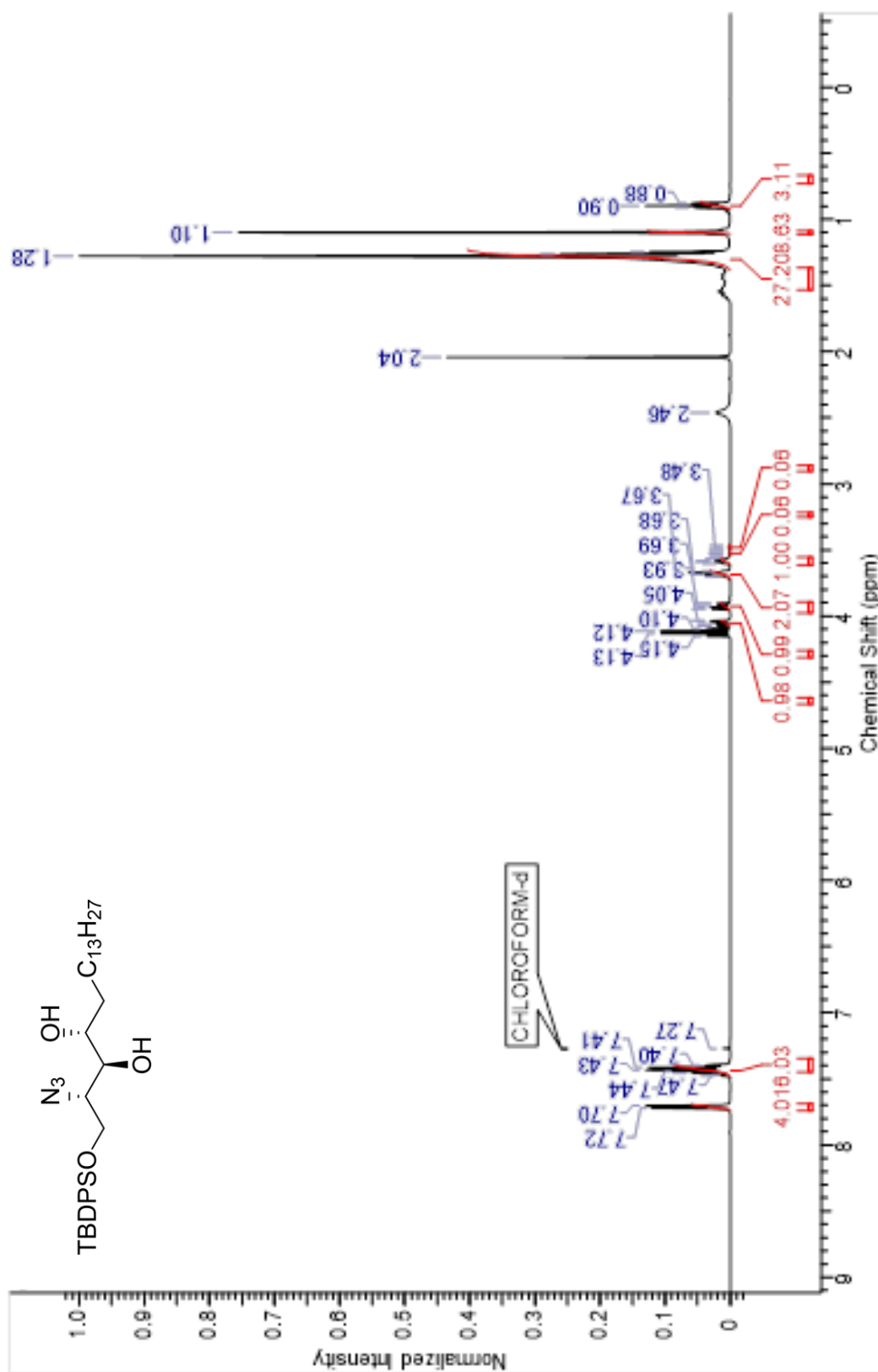
^1H NMR Spectrum of Compound 3



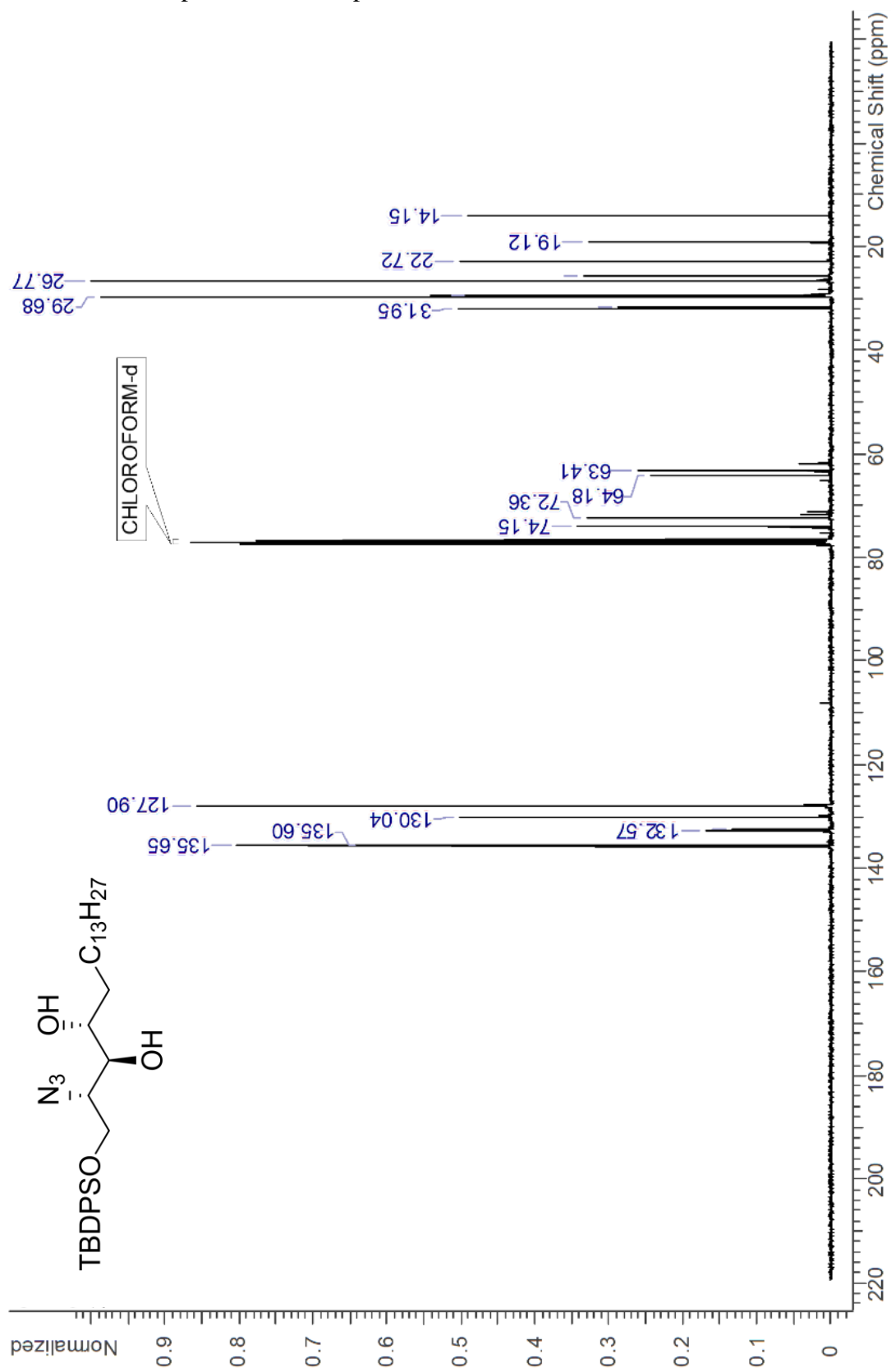
¹³C NMR Spectrum of Compound 3



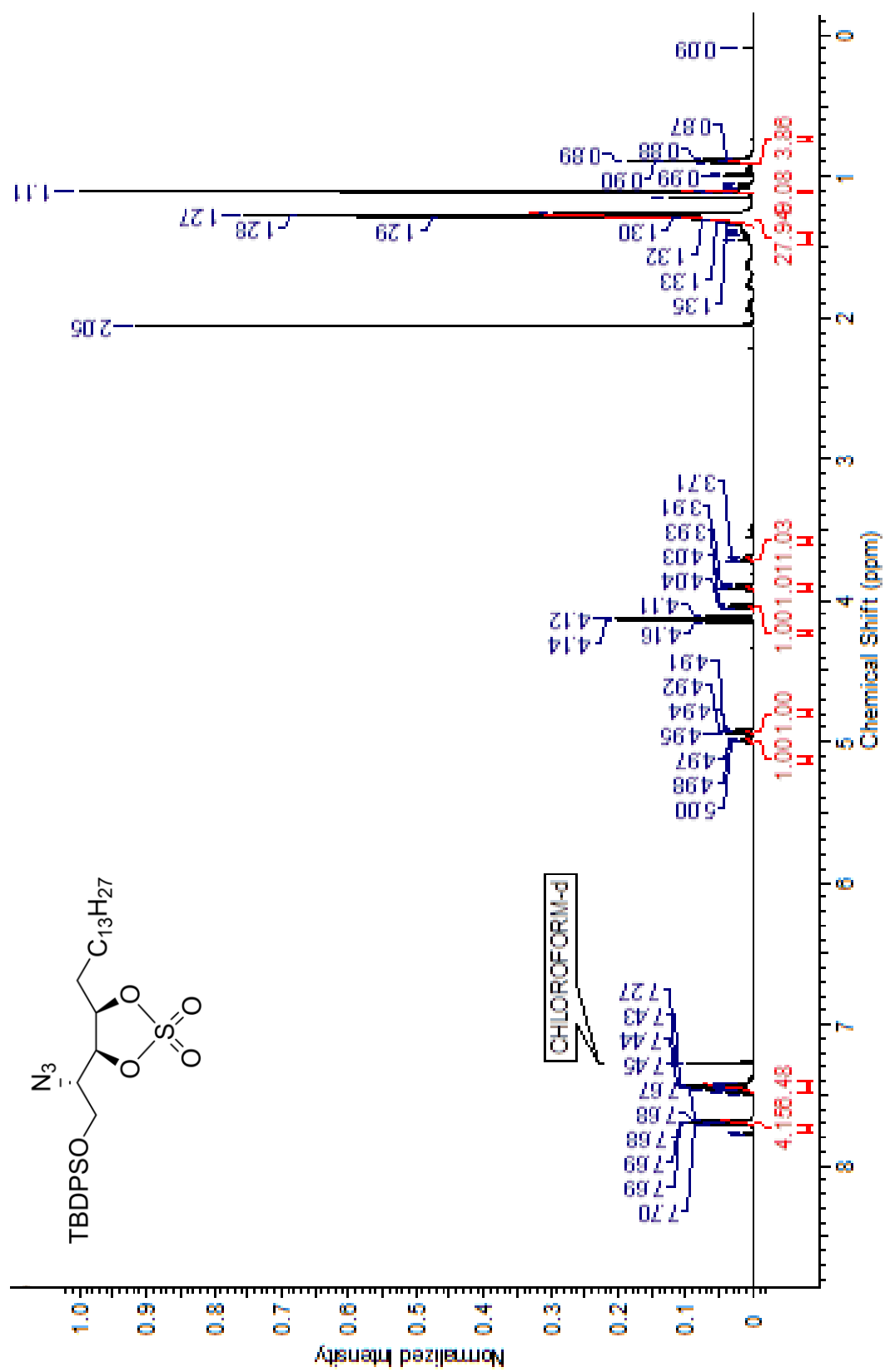
¹H NMR Spectrum of Compound 4



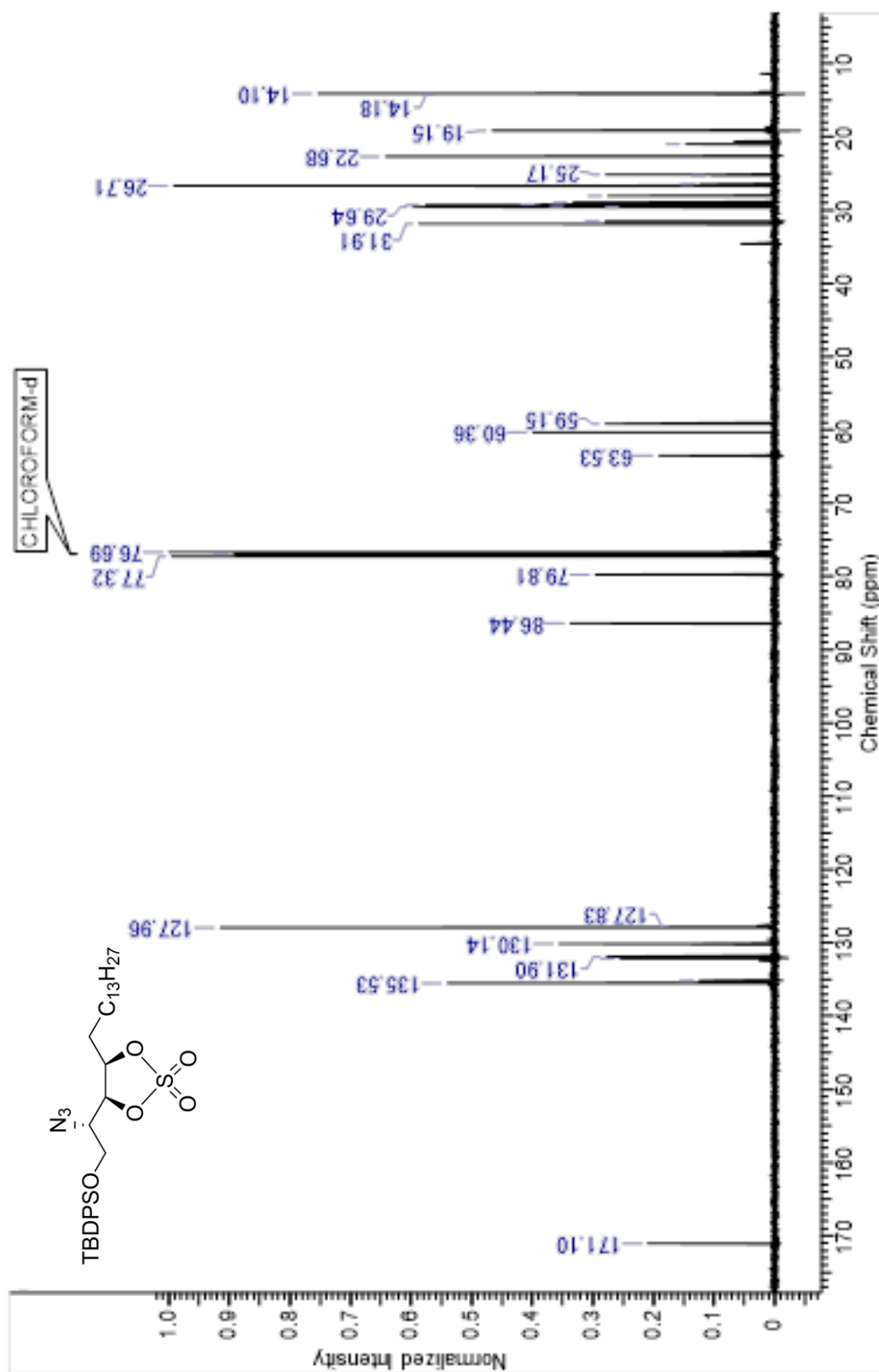
^{13}C NMR Spectrum of Compound 4



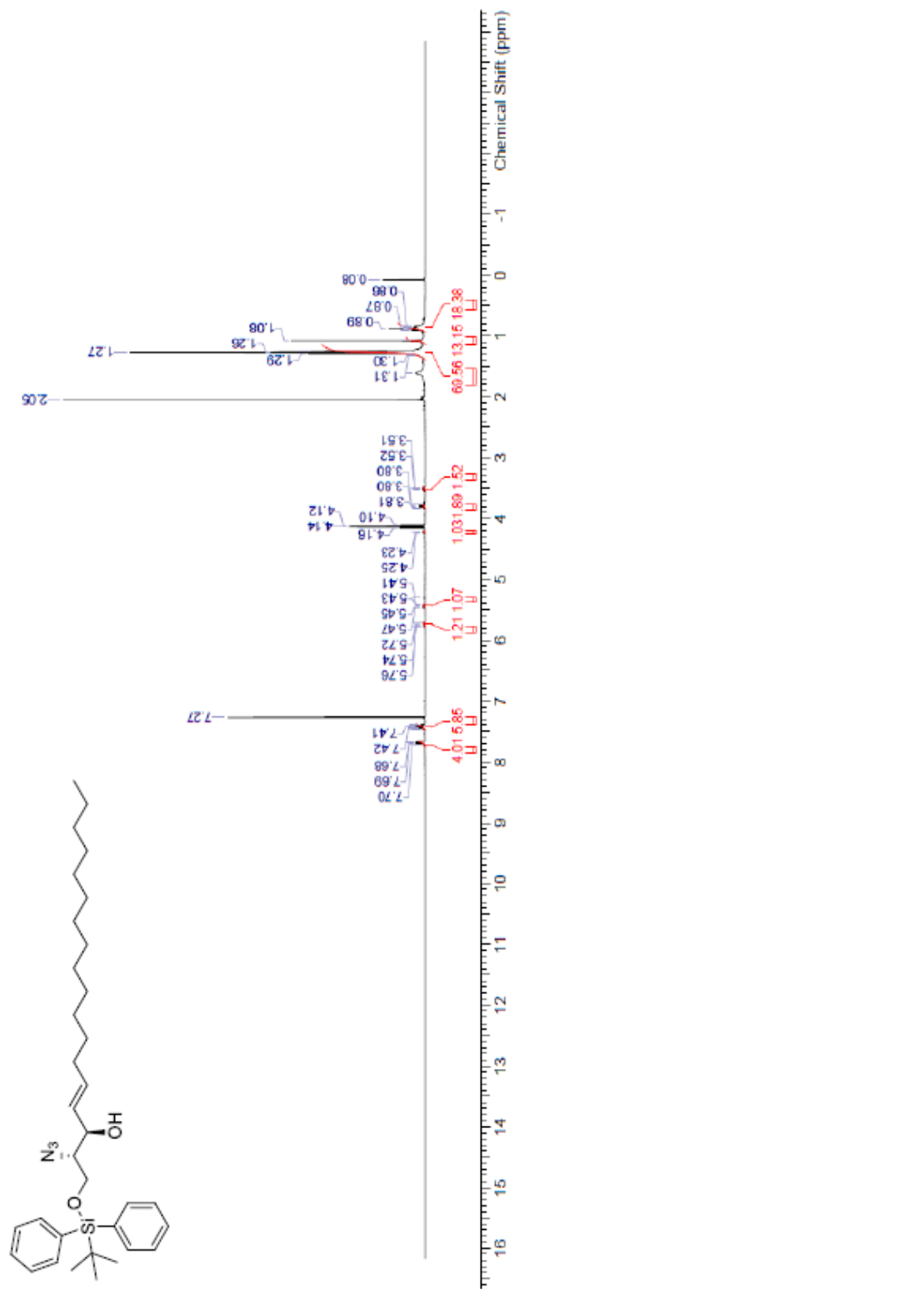
¹H NMR Spectrum of Compound 5



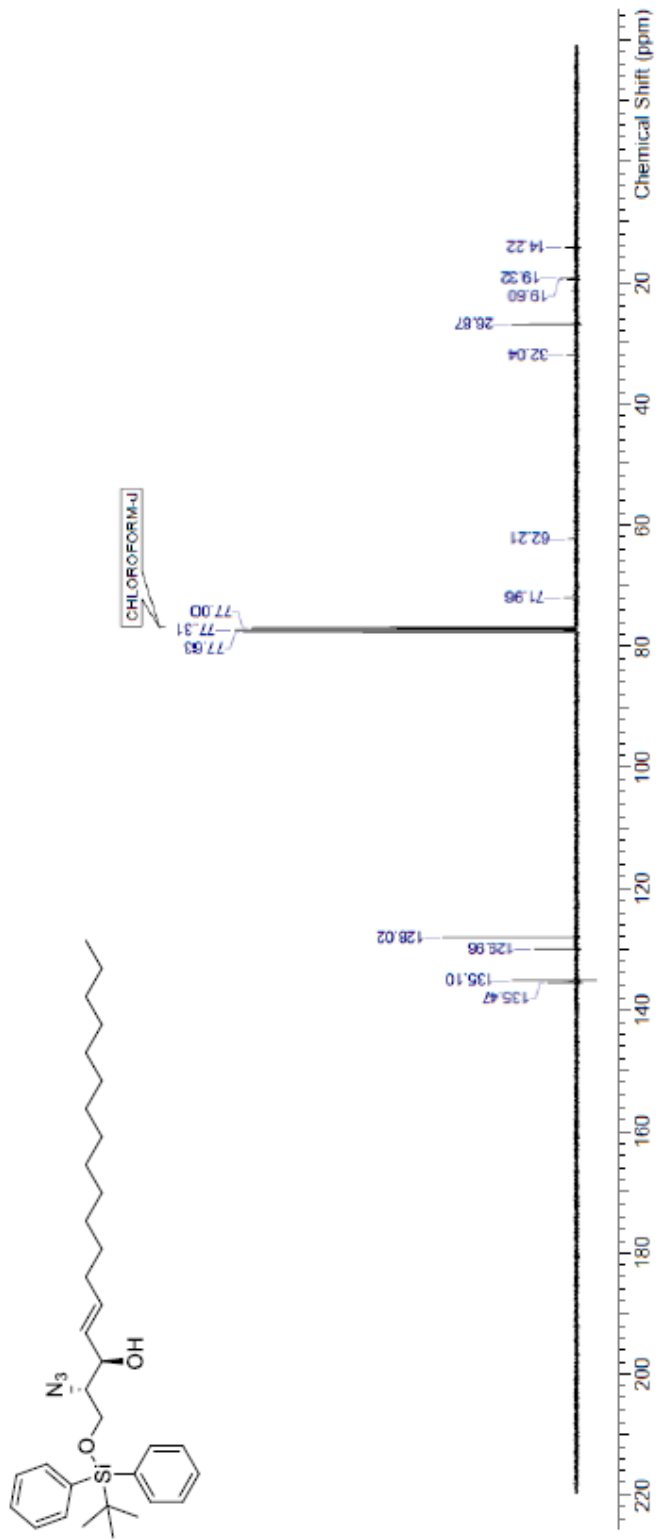
^{13}C NMR Spectrum of Compound 5



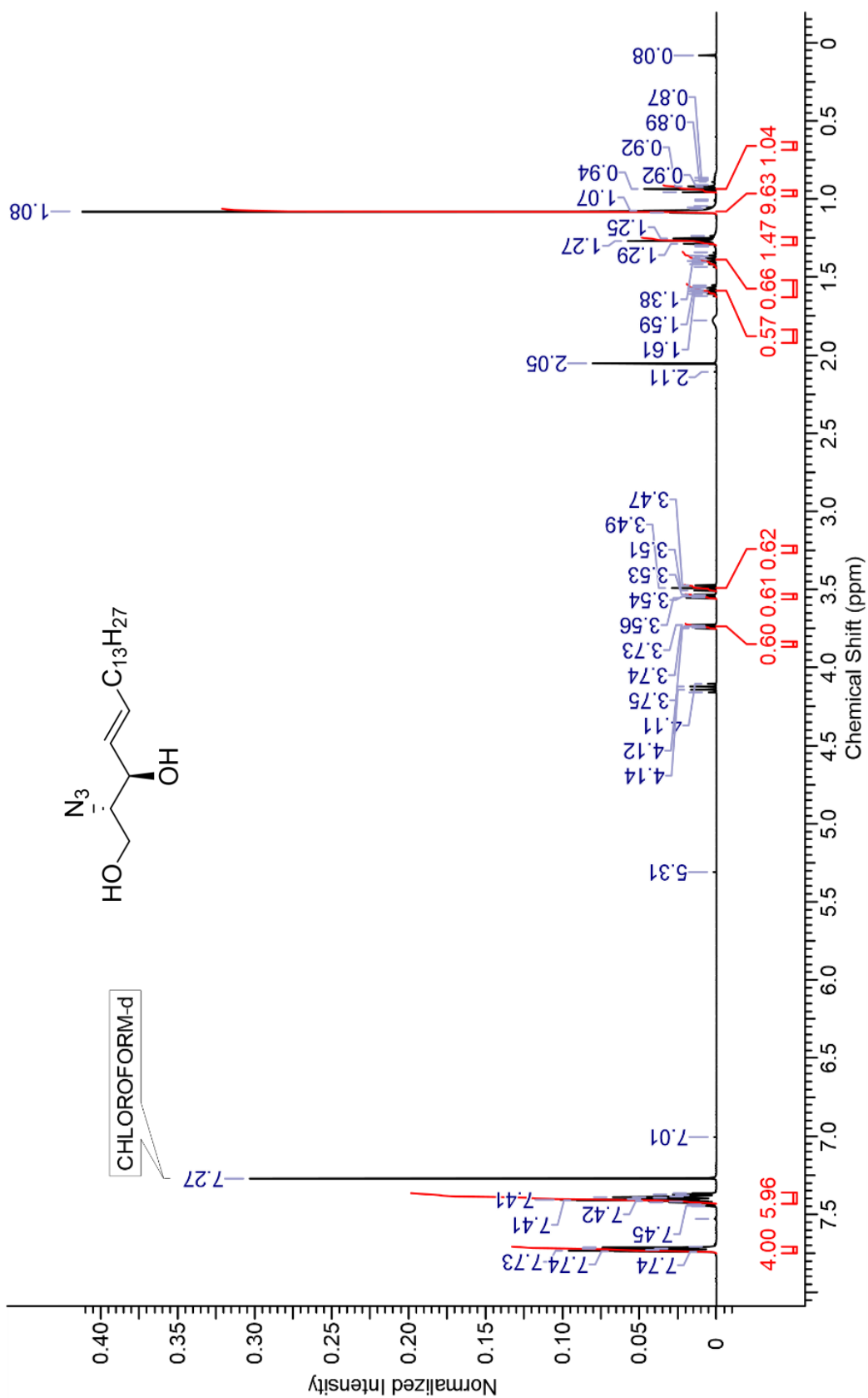
¹H NMR Spectrum of Compound 6



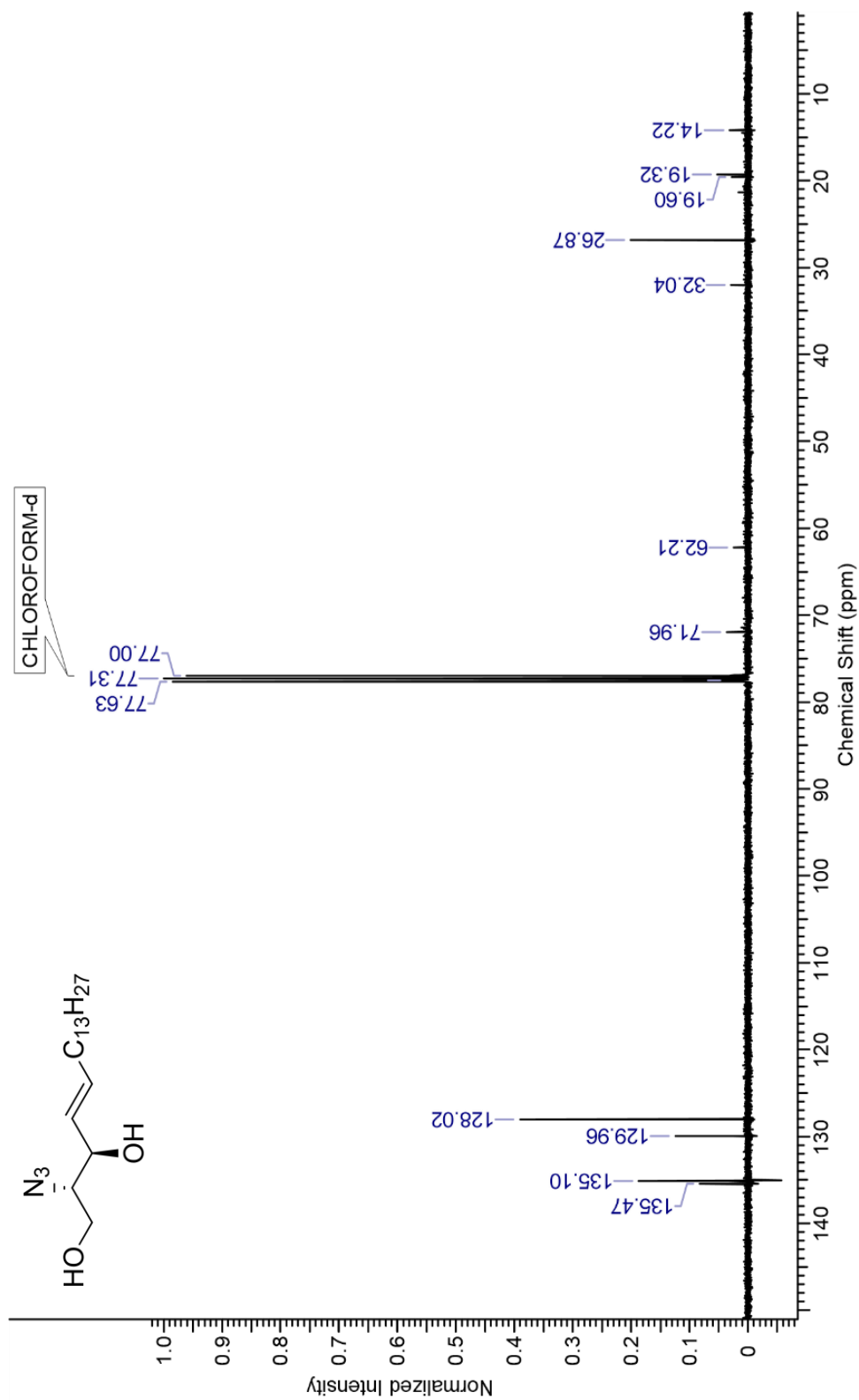
¹³C NMR Spectrum of Compound 6



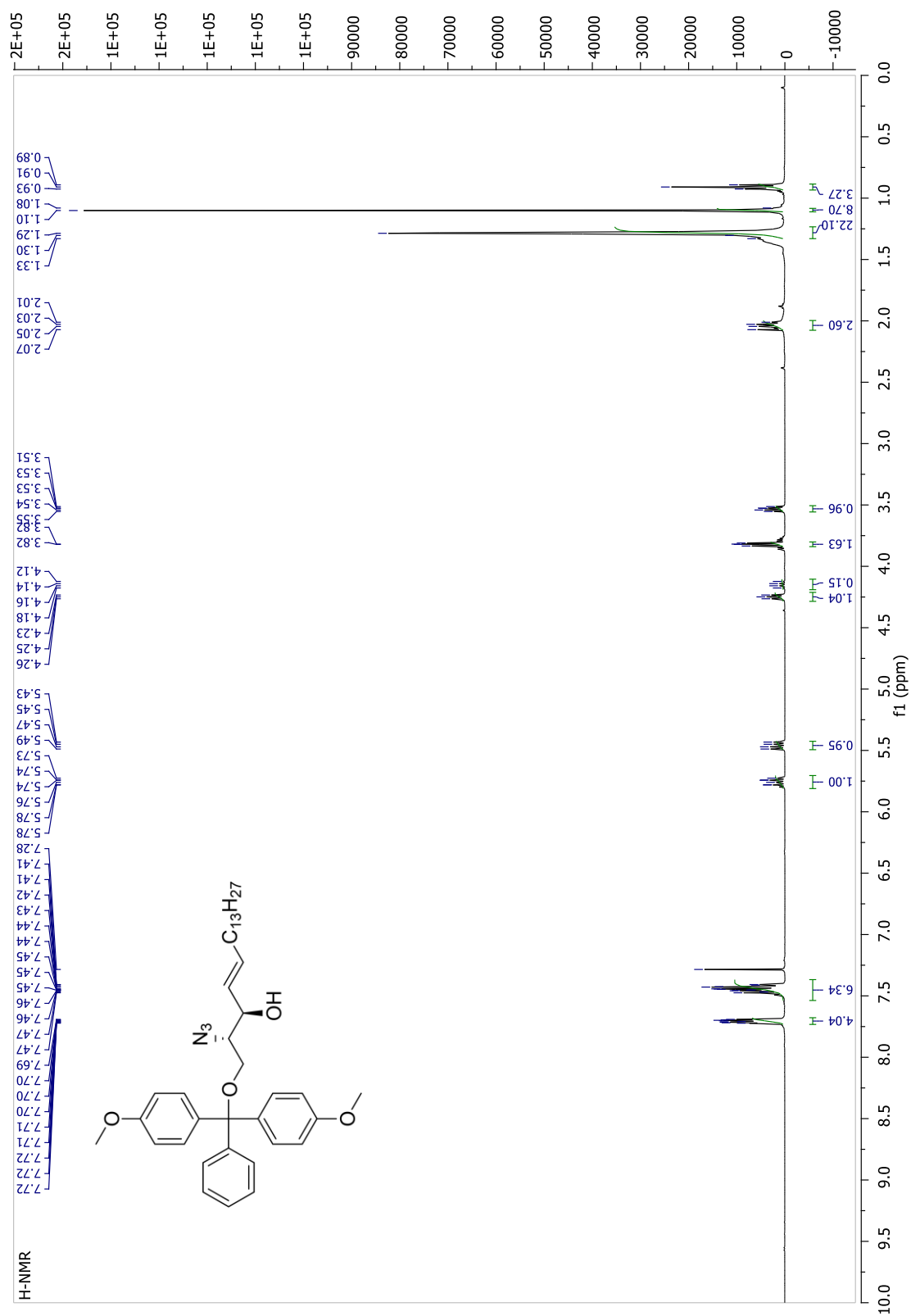
¹H NMR Spectrum of Compound 7

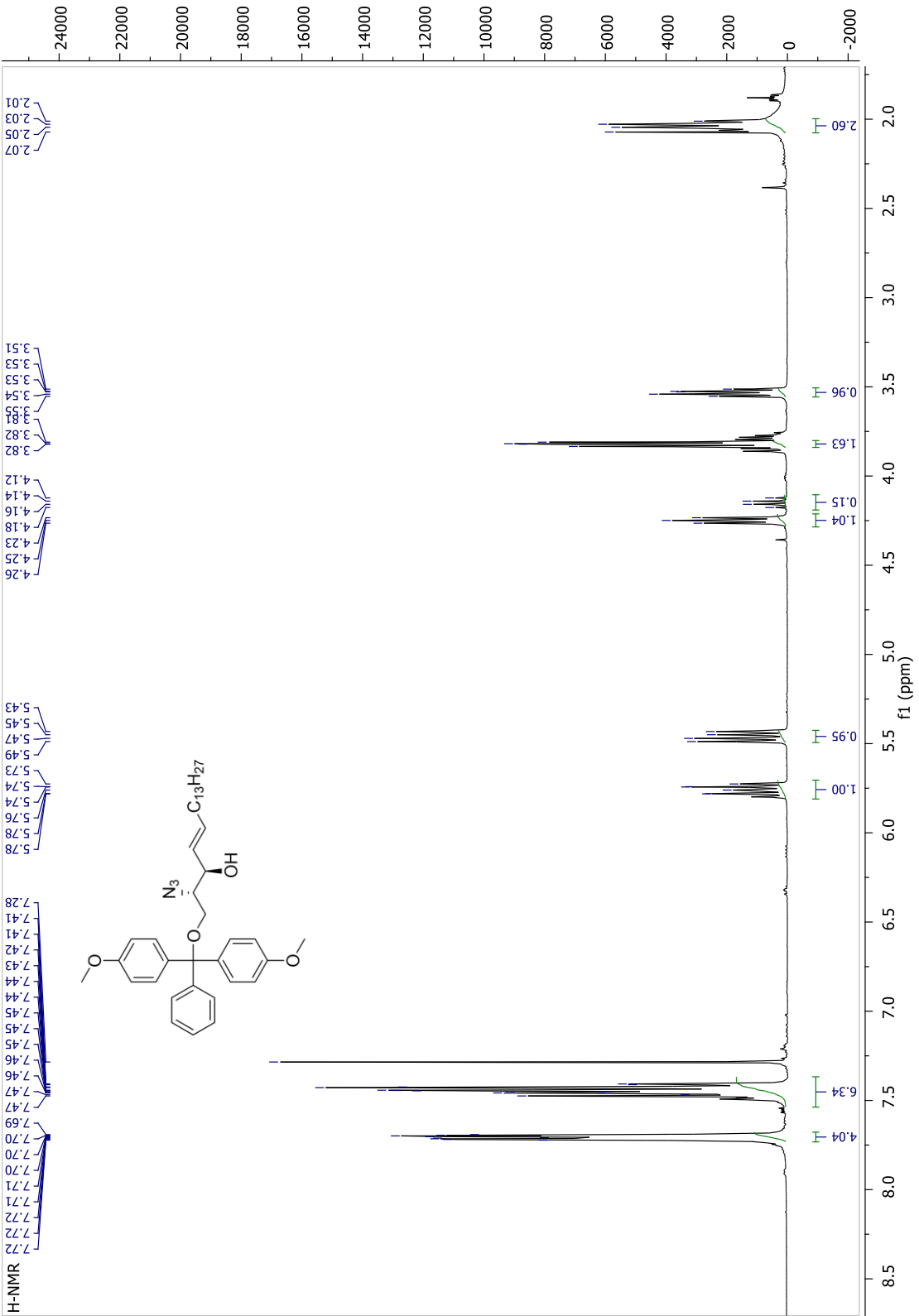


^{13}C NMR Spectrum of Compound 7

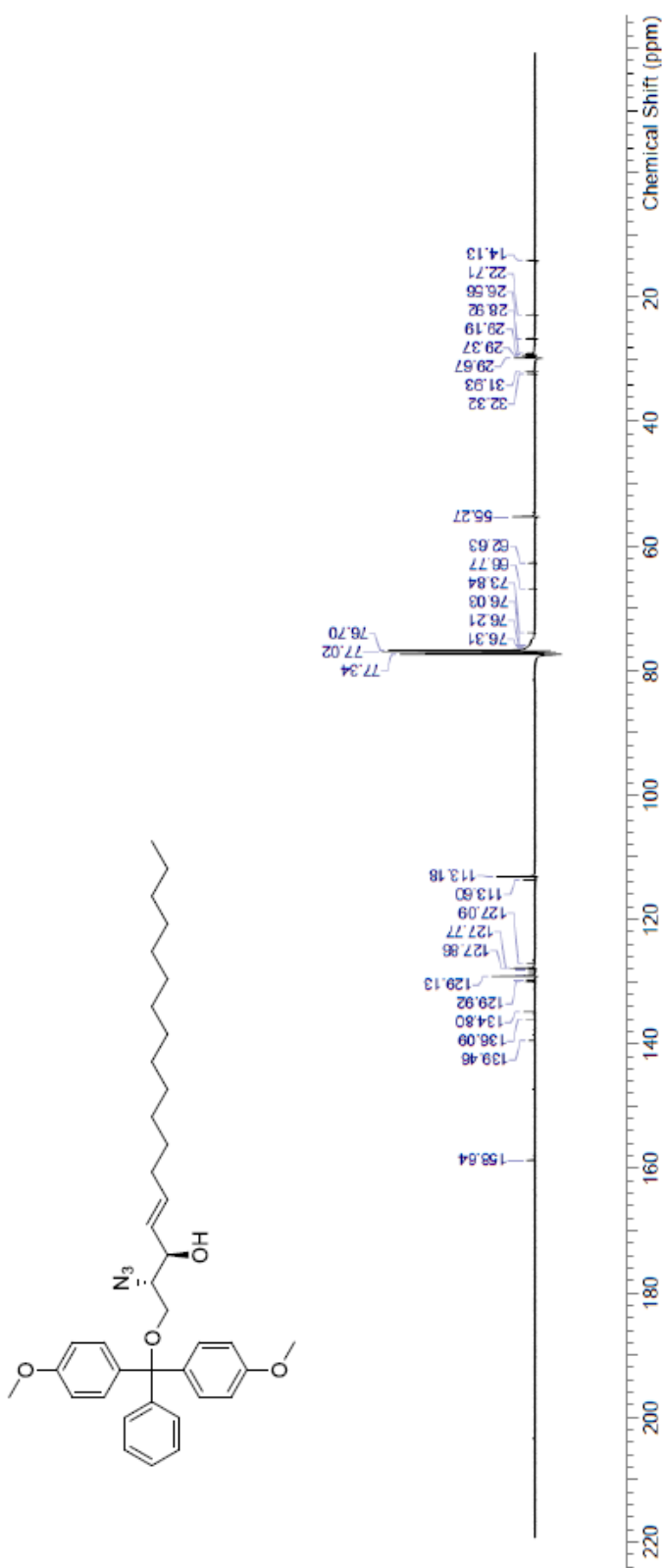


¹H NMR Spectrum of Compound 8

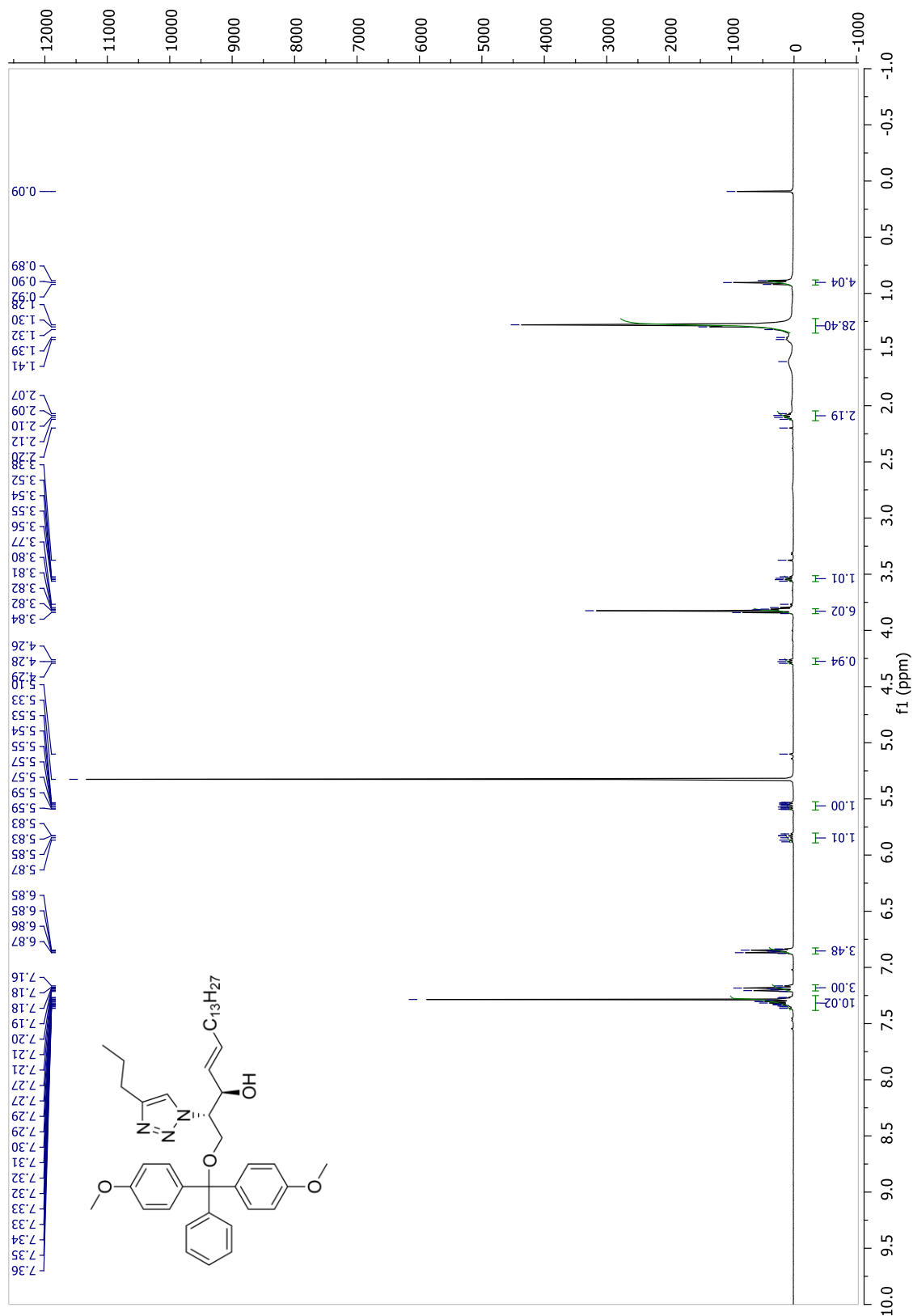


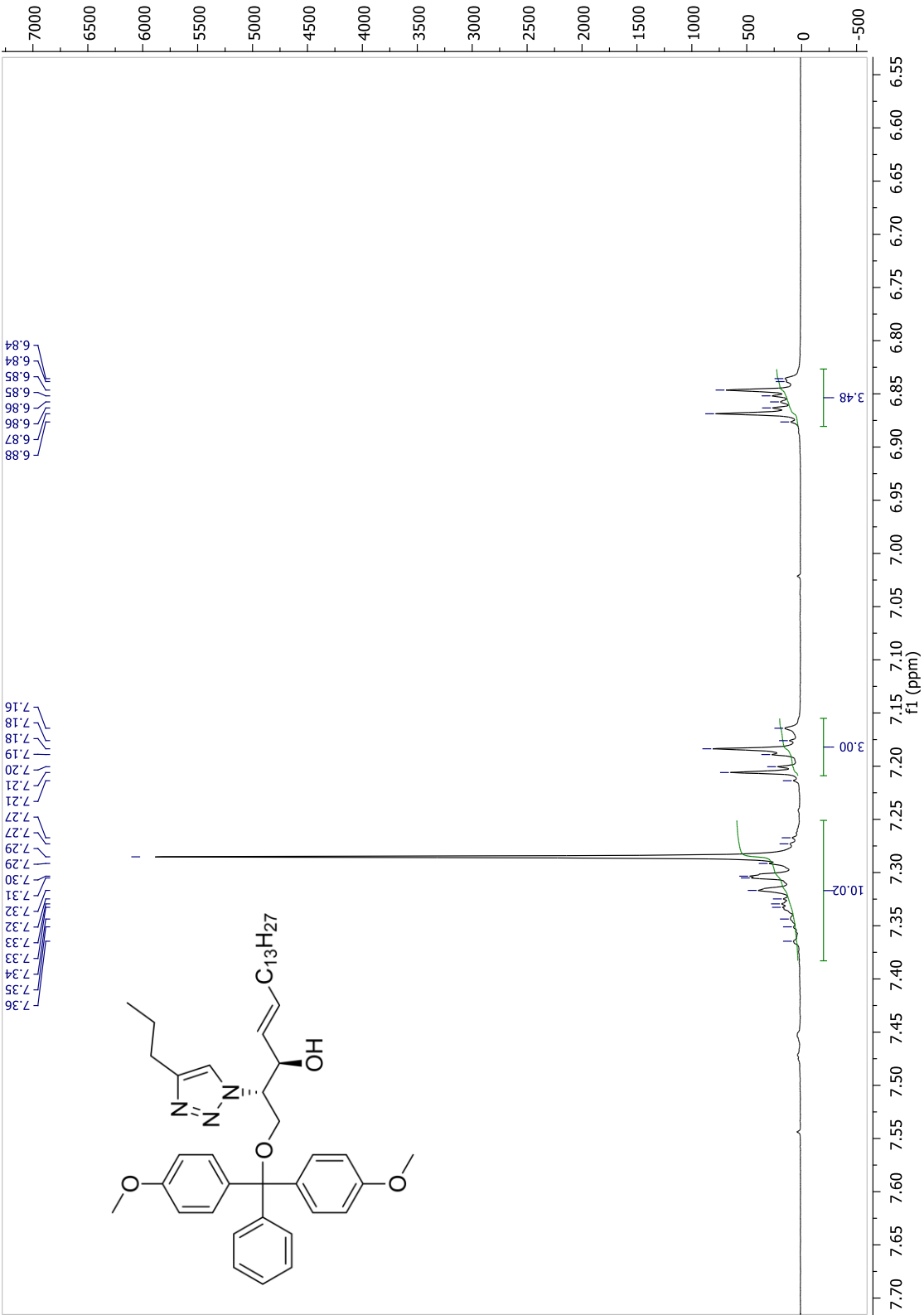


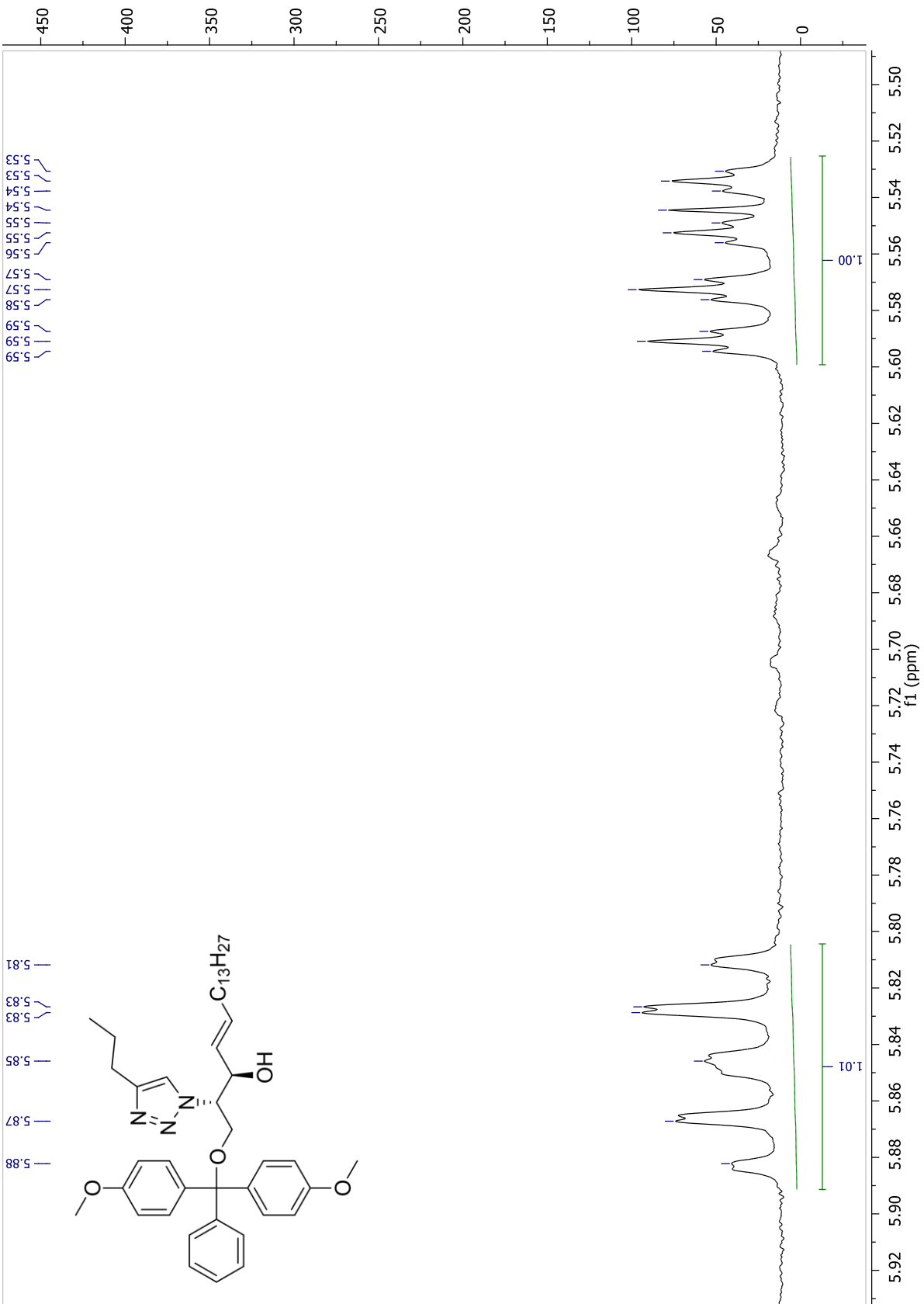
¹³C NMR Spectrum of Compound 8

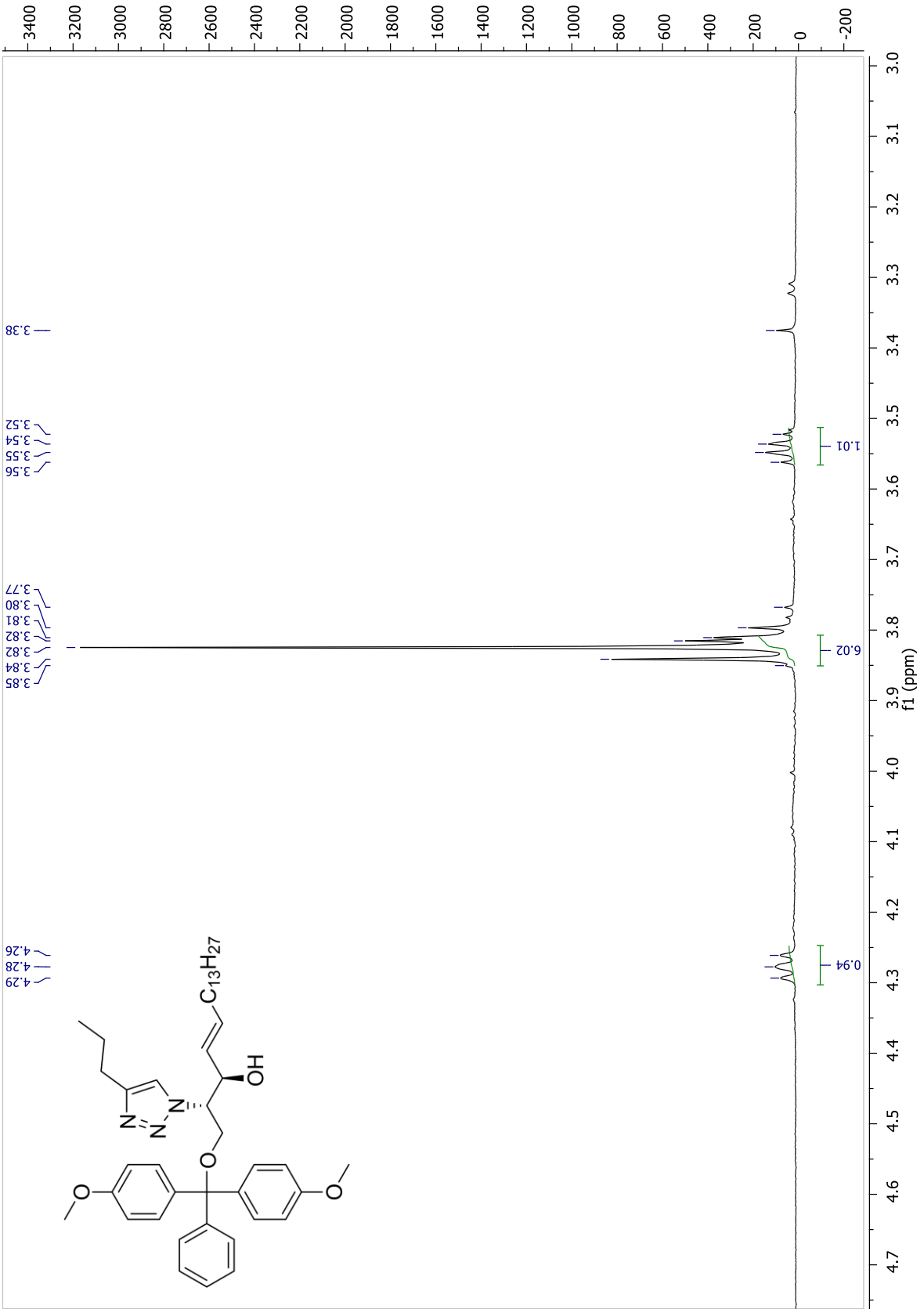


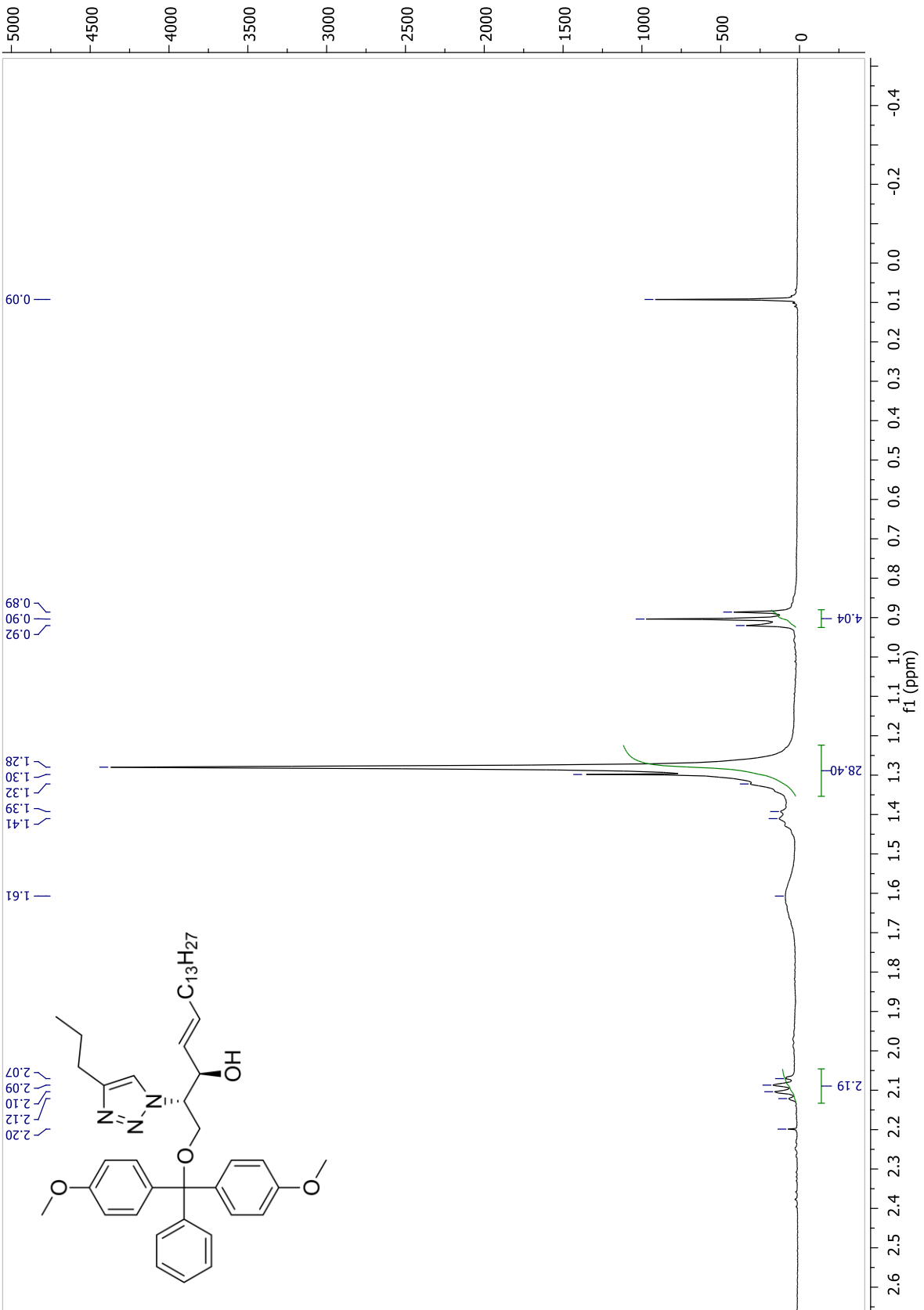
¹H NMR Spectrum of Compound 9



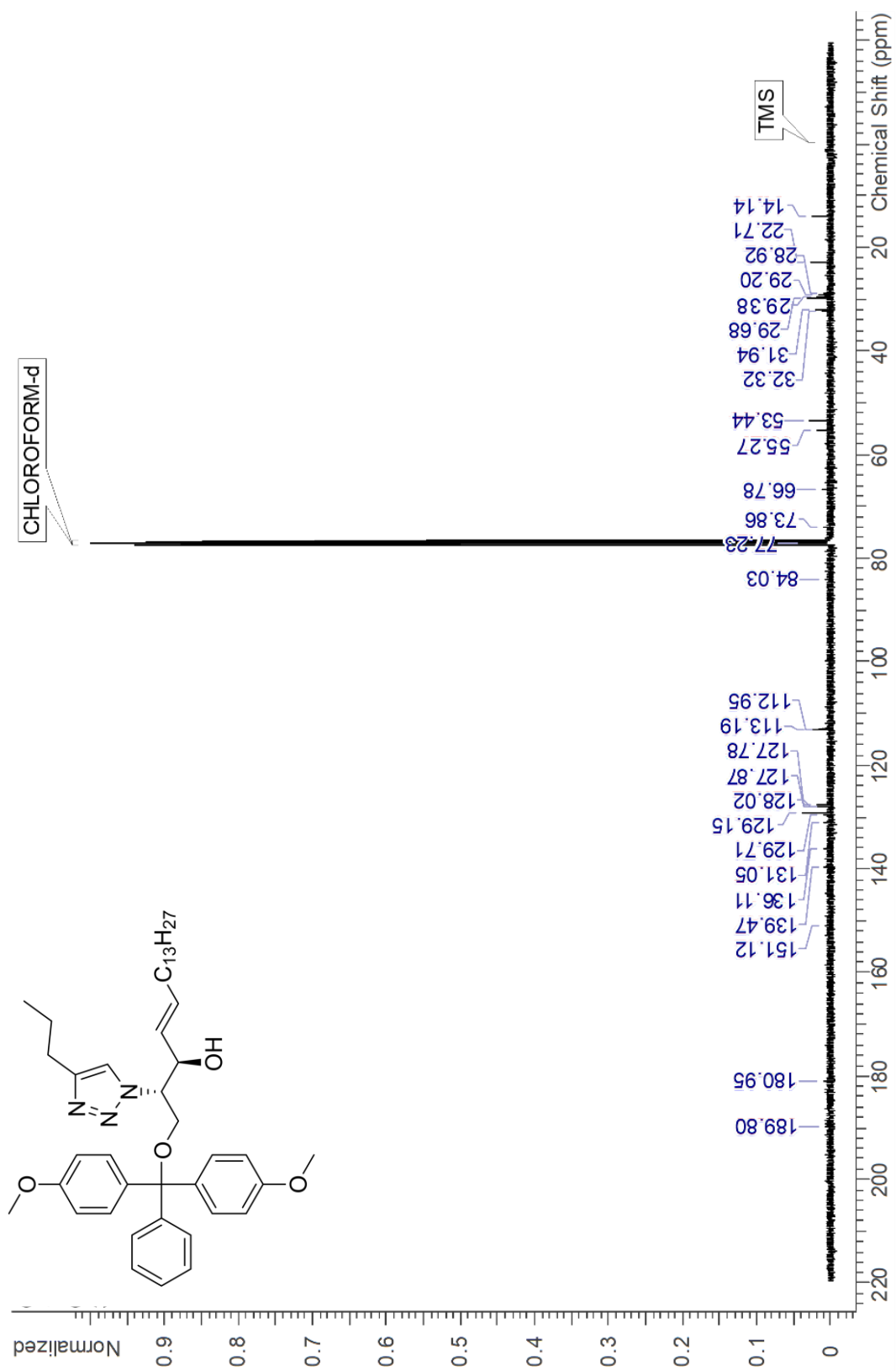




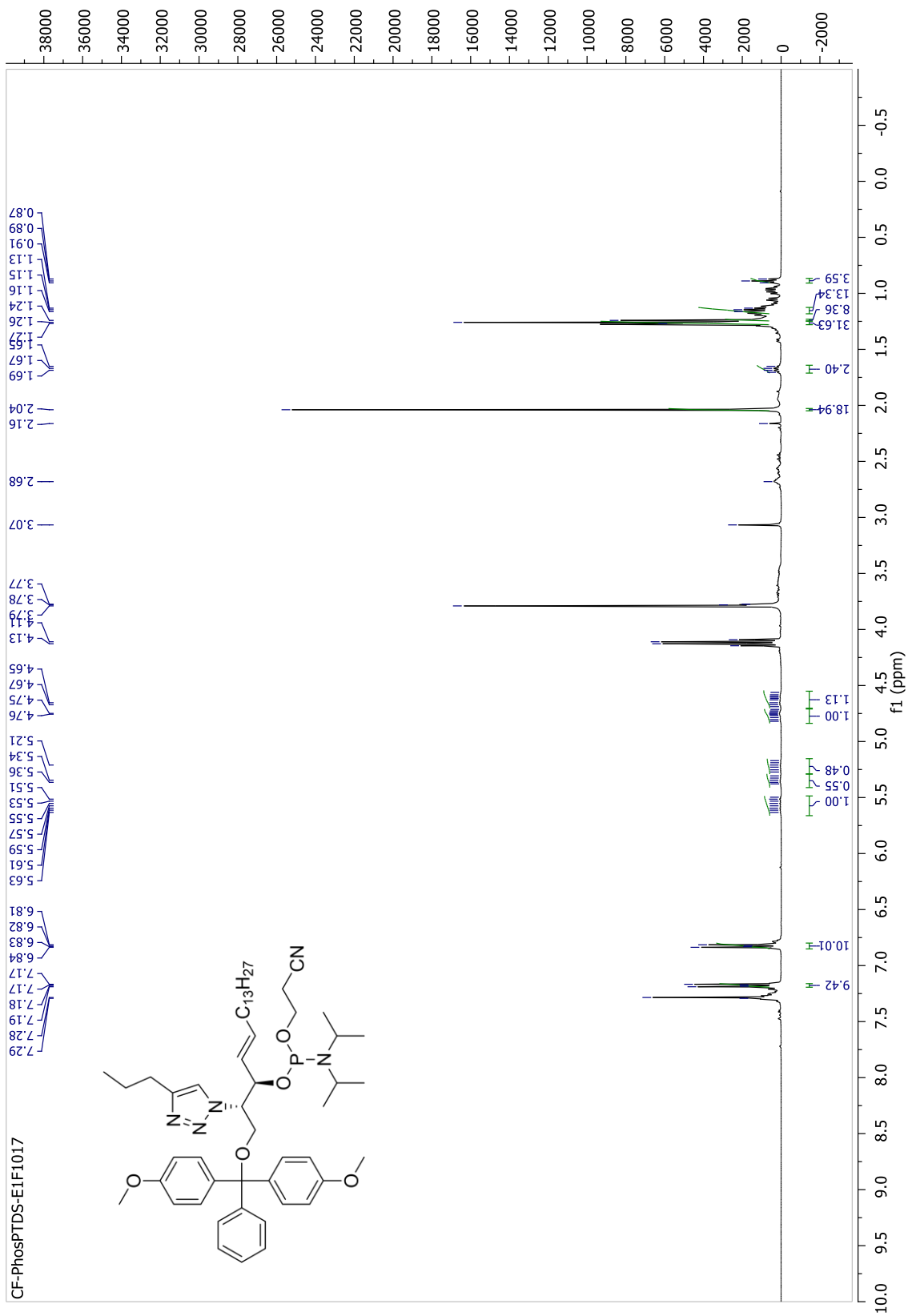




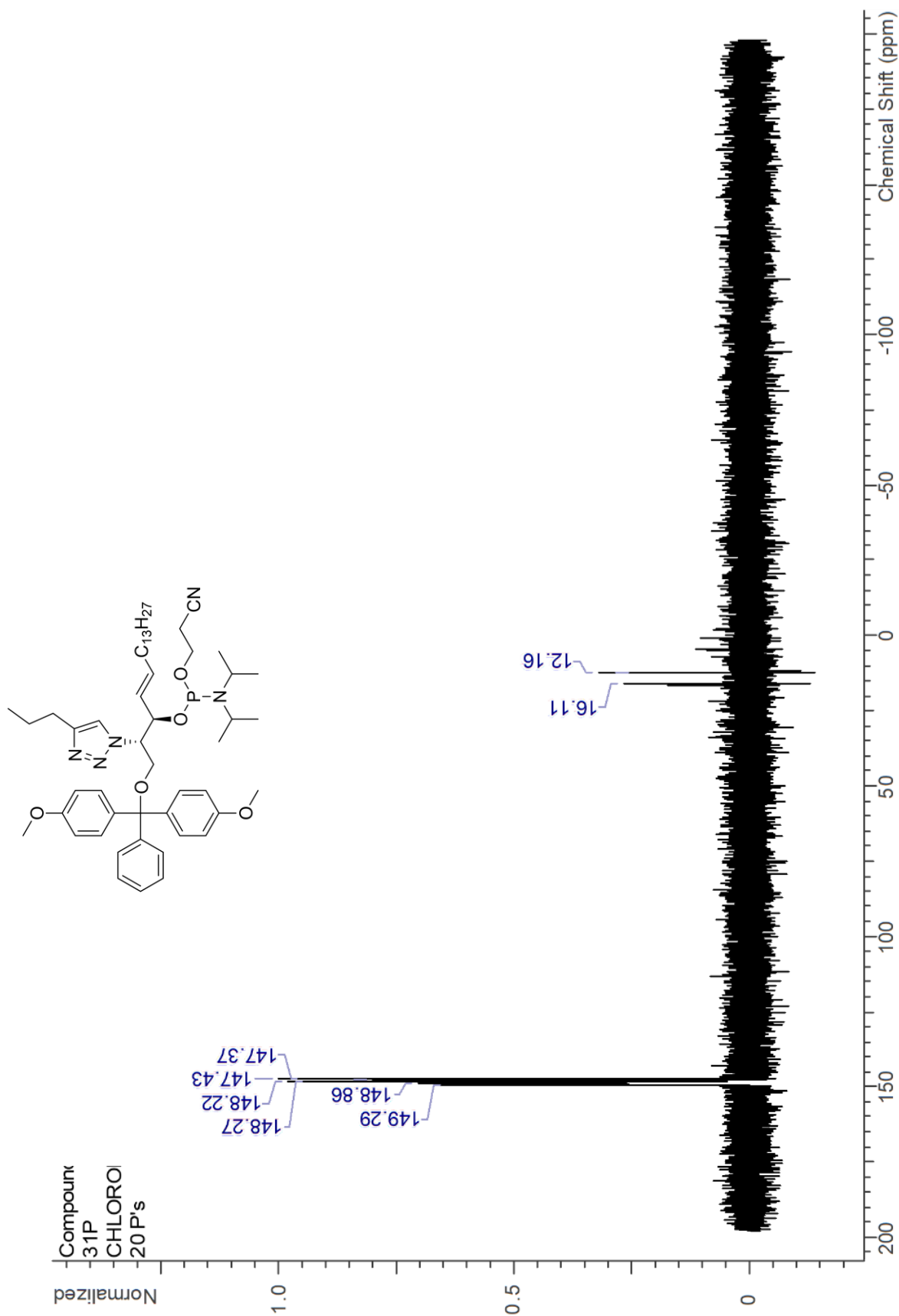
¹³C NMR Spectrum of Compound **9**



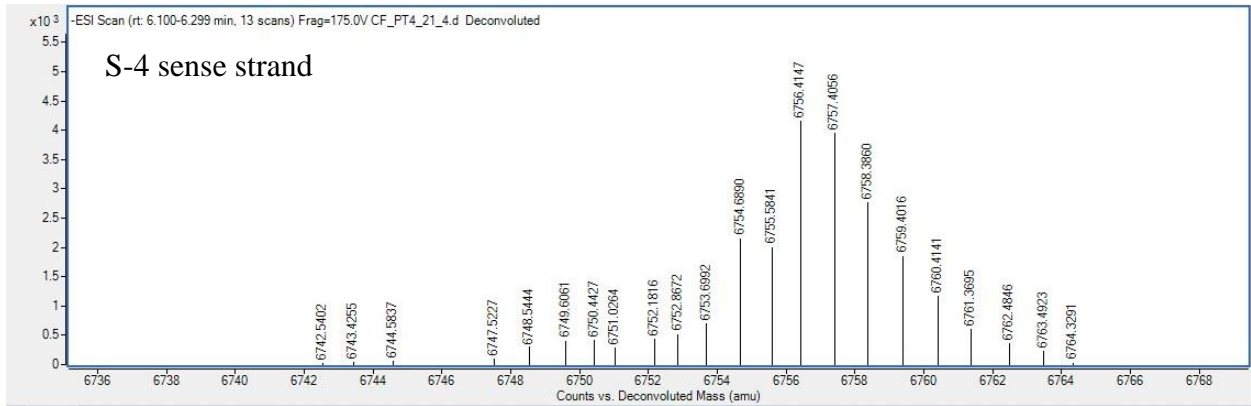
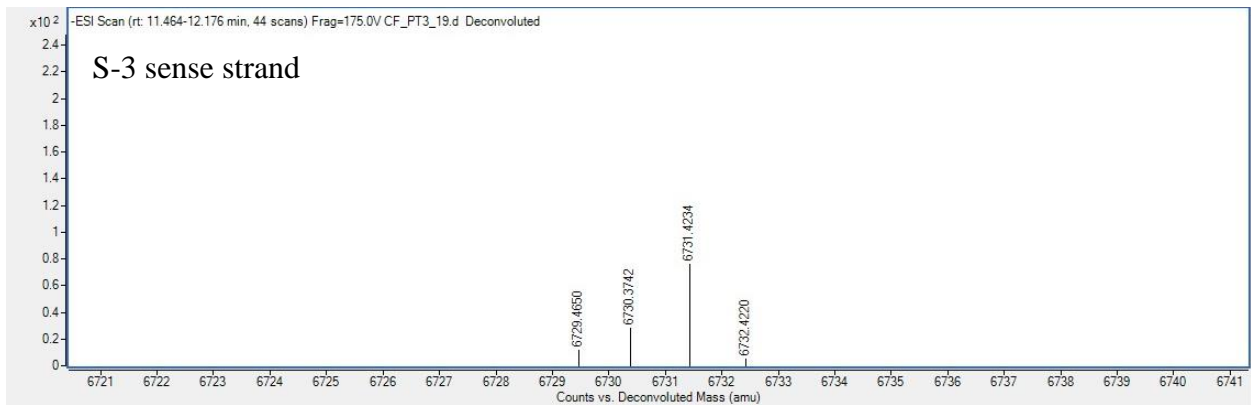
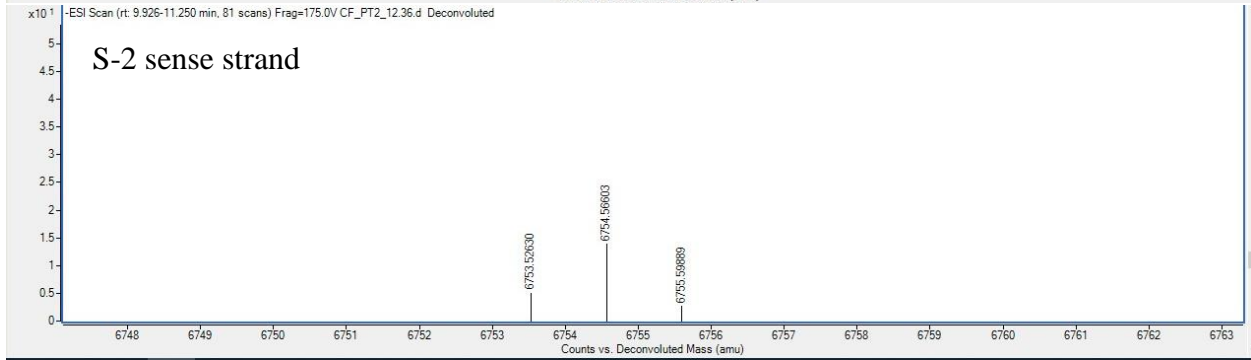
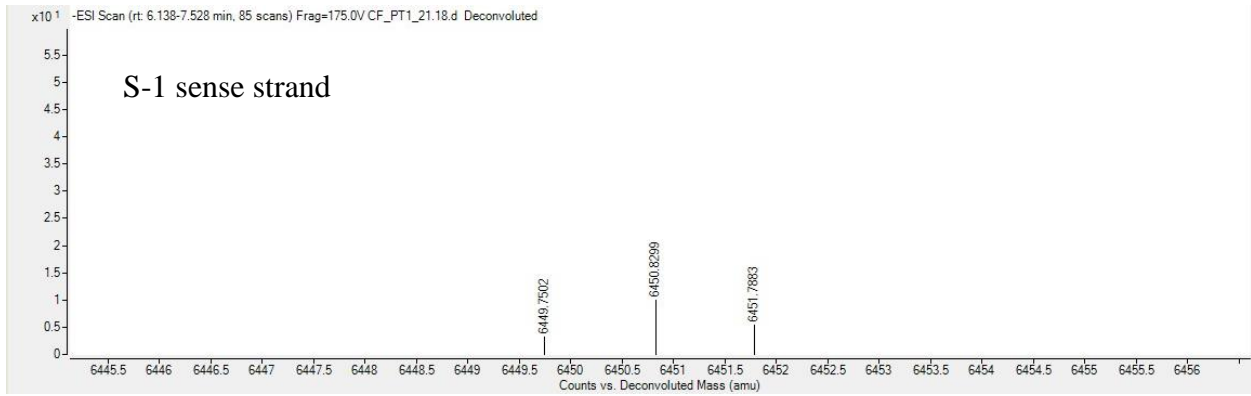
¹H NMR Spectrum of Compound 10

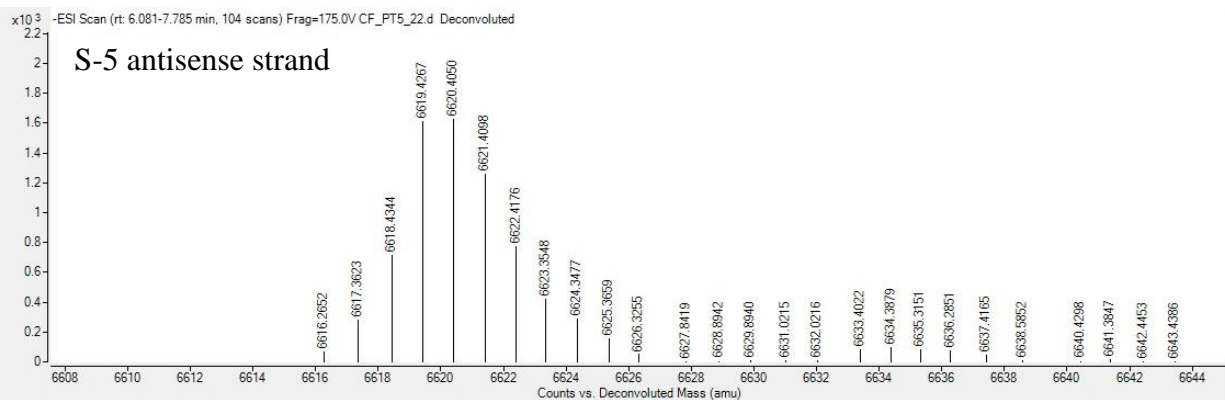


³¹P NMR Spectrum of Compound 10

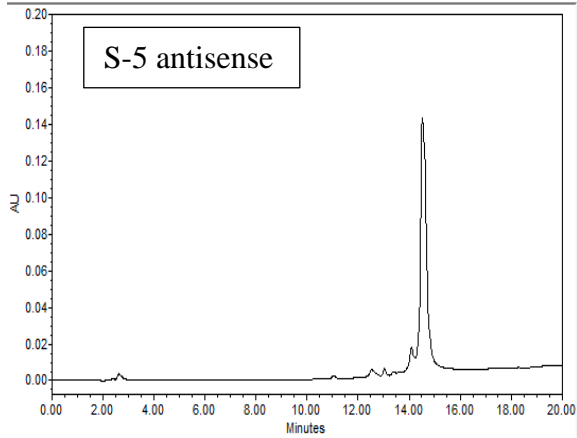
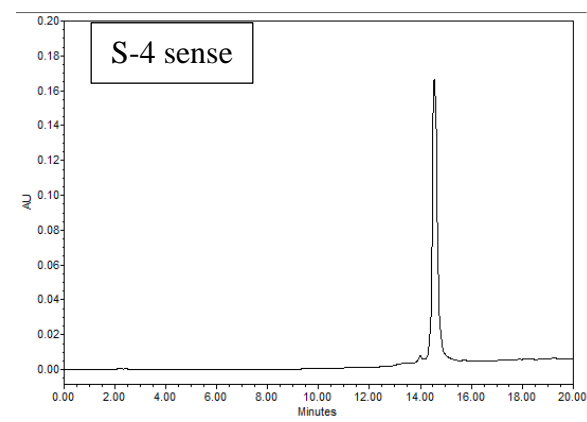
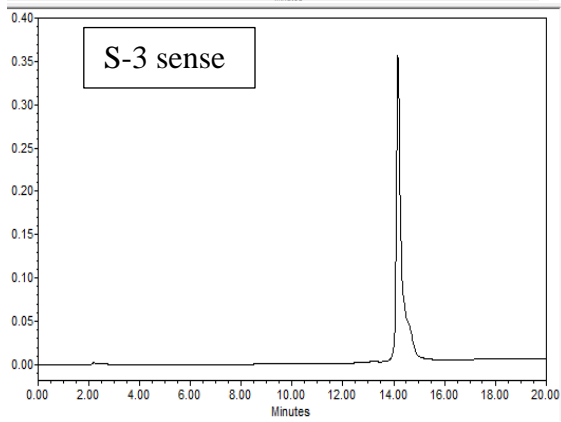
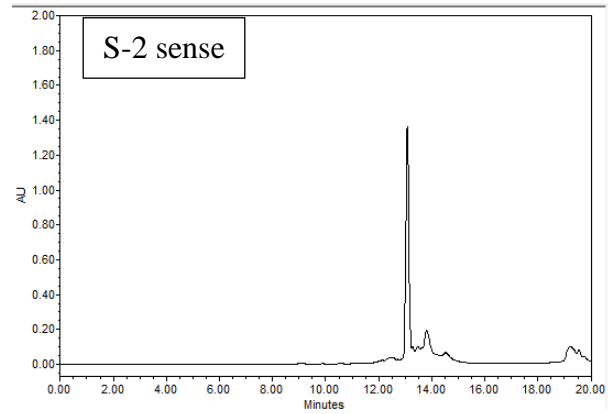
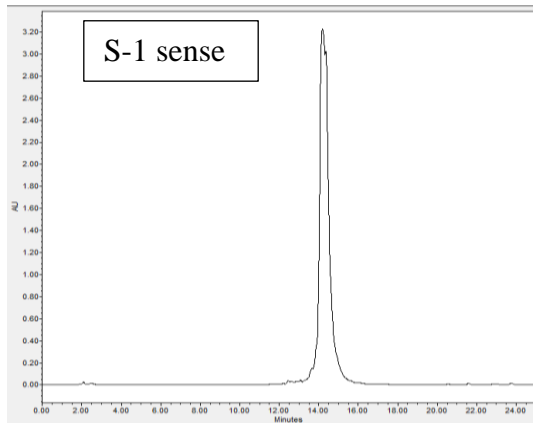


QTOF-LC/MS spectrum





HPLC data



Melting Temperature Curves

