

Supplementary Information for

N^α-arylsulfonyl histamines as powerful and selective β -glucosidase inhibitors

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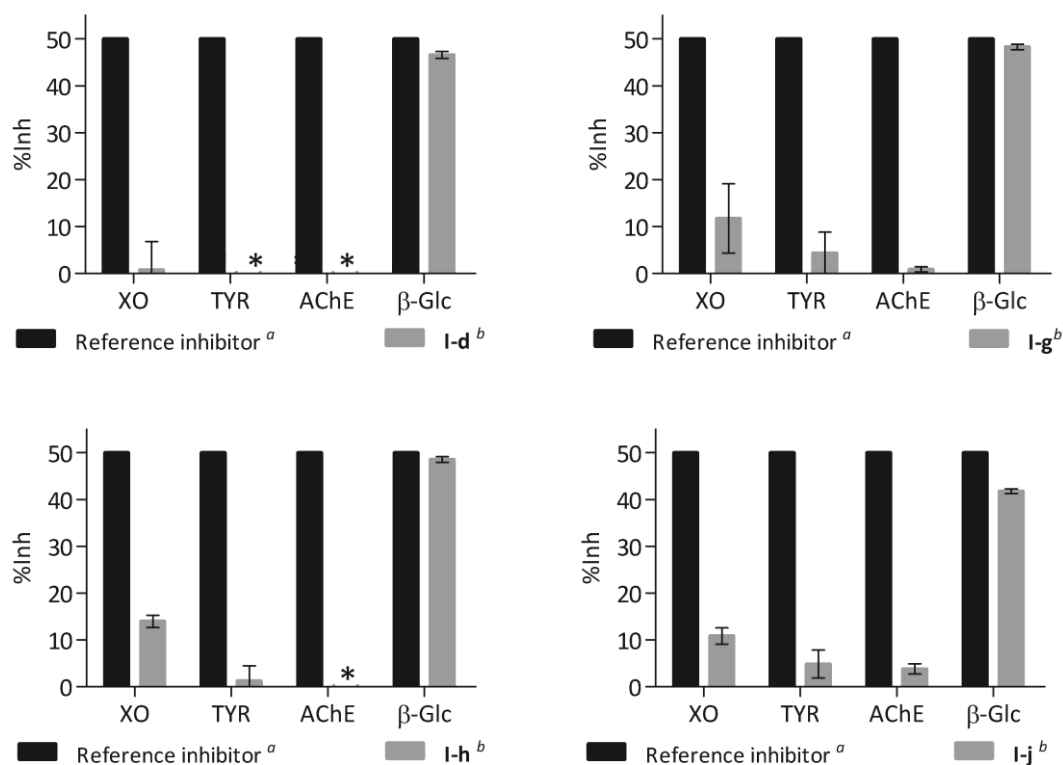
Farmacognosia, Departamento de Química Orgánica, Facultad de Ciencias Bioquímicas y Farmacéuticas, Universidad Nacional de Rosario, Suipacha 531, Rosario S2002LRK, Argentina

^{*}Corresponding author: E-Mail: rfurlan@fbioyf.unr.edu.ar (R. L. E. Furlan).

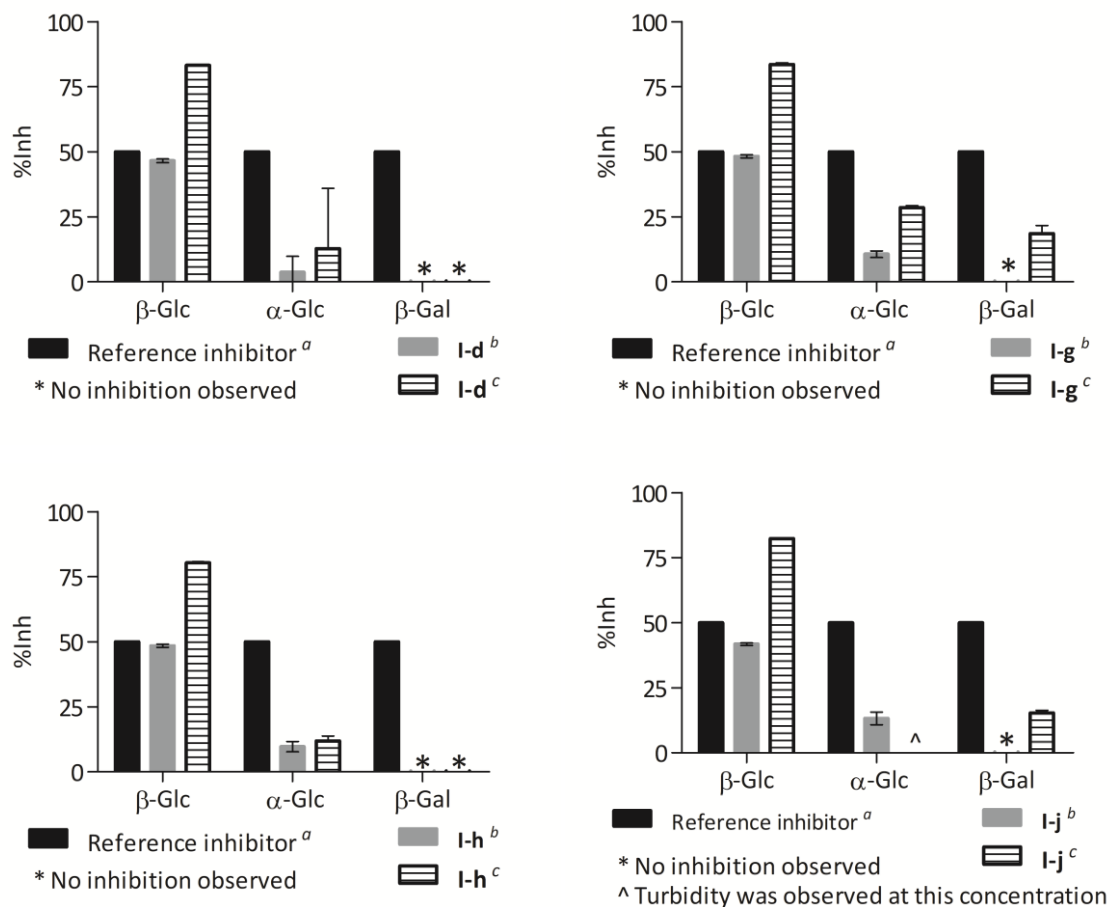
[†] These authors contributed equally to this work

Contents

Figure S1	S2
Figure S1	S3
Mass spectrum of compounds Ia-Ij	S4
Mass spectrum of compounds IIa-IIj	S9
¹ H NMR(300 MHz) of compounds Ia-Ij	S14
¹ H NMR(300 MHz) of compounds IIa-IIj	S18
¹³ C NMR(300 MHz) of compounds Ia-Ij	S22
¹³ C NMR(300 MHz) of compounds IIa-IIj	S26
¹⁹ F NMR(300 MHz) of compounds Ic-Ij	S30
¹⁹ F NMR(300 MHz) of compounds IIc-IIj	S33
Plots of % of inhibition of β -glucosidase versus log [I] of compounds Ia-Ij	S36



Fig, S1. Comparison of the inhibitory potency of compounds **I-d**, **I-g**, **I-h**, and **I-j** vs the reference inhibitor for each enzyme tested. ^a allopurinol for XO (IC 50 2.52 μ M), kojic acid for TYR (IC 50 40.00 μ M), eserine for AChE (IC 50 1.17 μ M) and 1-DNJ for β Glc (IC 50 65.18 μ M). ^b Compounds **I-d**, **I-g**, **I-h**, and **I-j** were tested at 3 μ M in XO assay, at 40 μ M in TYR assay, 1.5 μ M in AChE assay and 65 μ M in β -Glc. *No inhibition was observed.

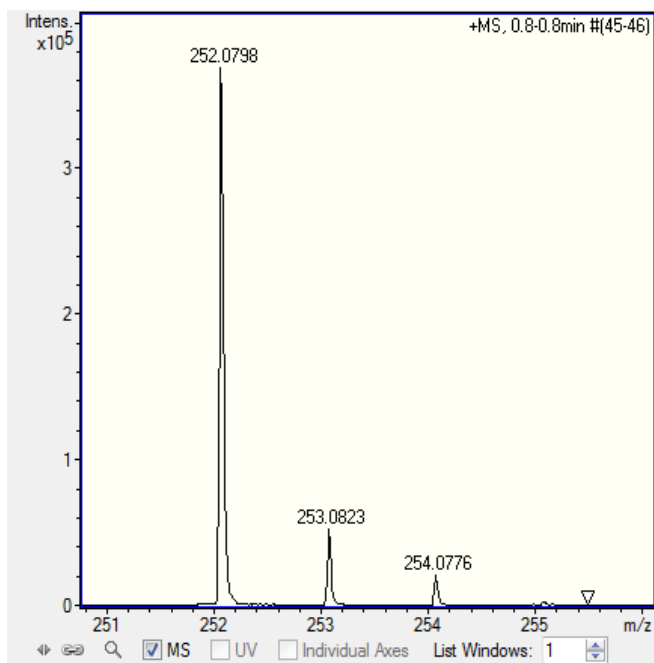


Fig, S2. Comparison of the inhibitory potency of compound compounds **I-d**, **I-g**, **I-h**, and **I-j** vs the reference inhibitor for each enzyme tested. ^a 1-DNJ for β-Glc (IC₅₀ = 65.18 μM), 1-DNJ for α-Glc (IC₅₀ = 333,00 μM) and *galacto*-DNJ for β-Gal (IC₅₀ = 90 μM).¹ ^{b-c} Compounds **I-d**, **I-g**, **I-h**, and **I-j** were tested at, 65 and 600 μM in β-Glc, 383 and 2397 μM in α-Glc assay and 100 and 500 μM in β-Gal assay. *No inhibition was observed.

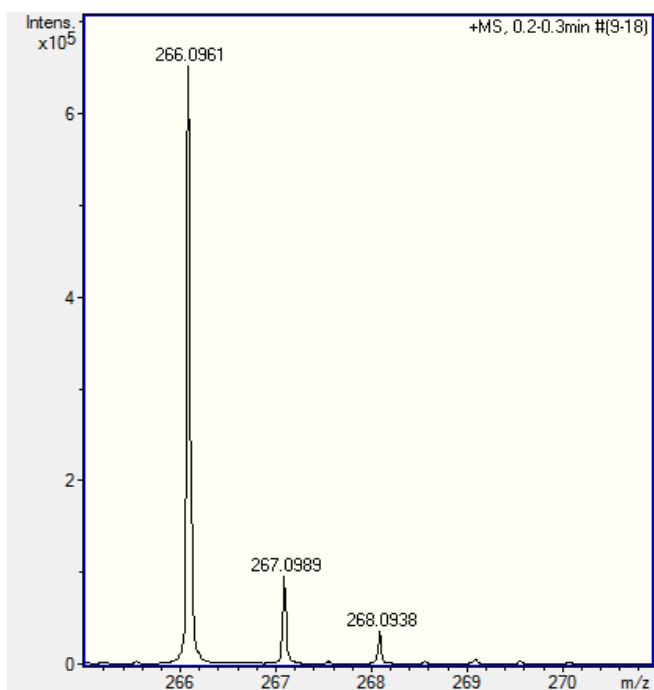
¹ A. Kato, N. Kato, E. Kano, I. Adachi, K. Ikeda, L. Yu, T. Okamoto, Y. Banba, H. Ouchi, H. Takahata, and N. Asano, J. Med. Chem., **2005**, *48*, 2036-2044

Mass spectrum

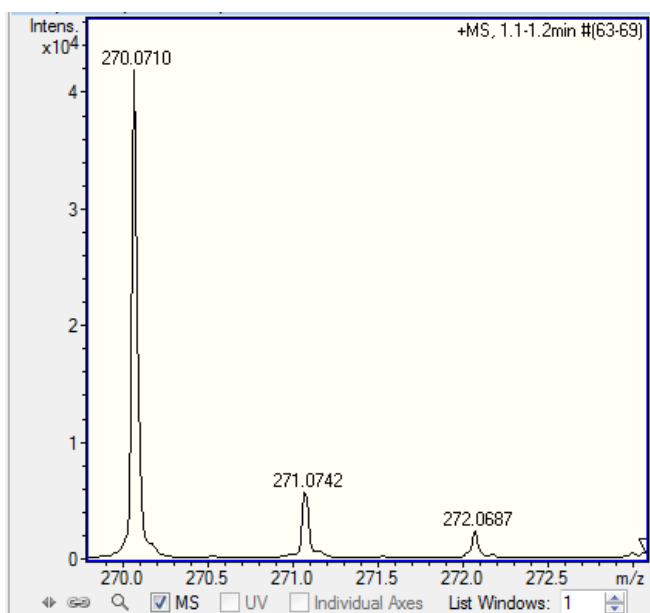
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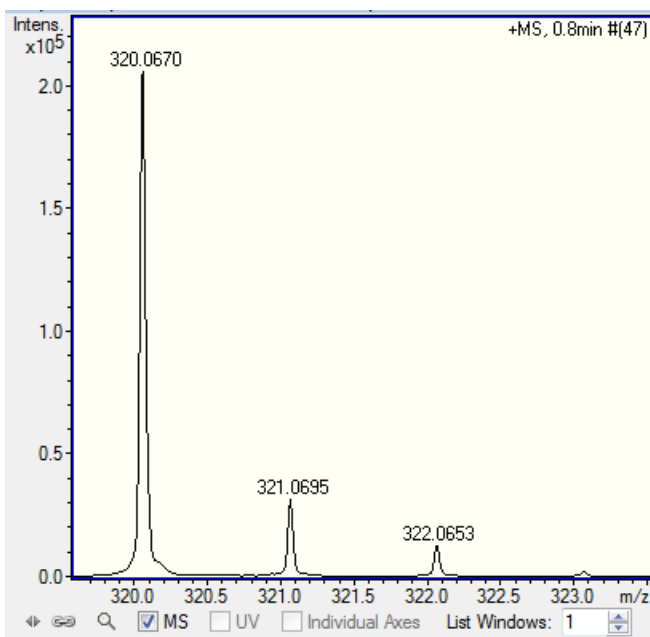
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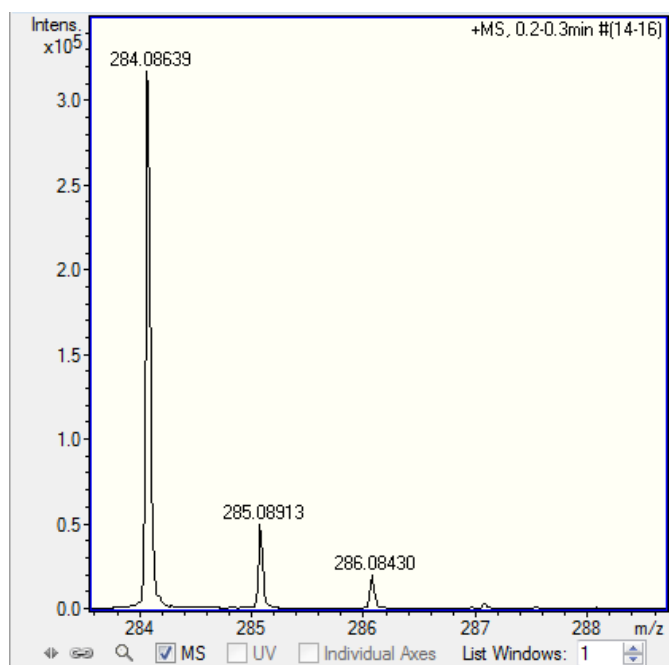
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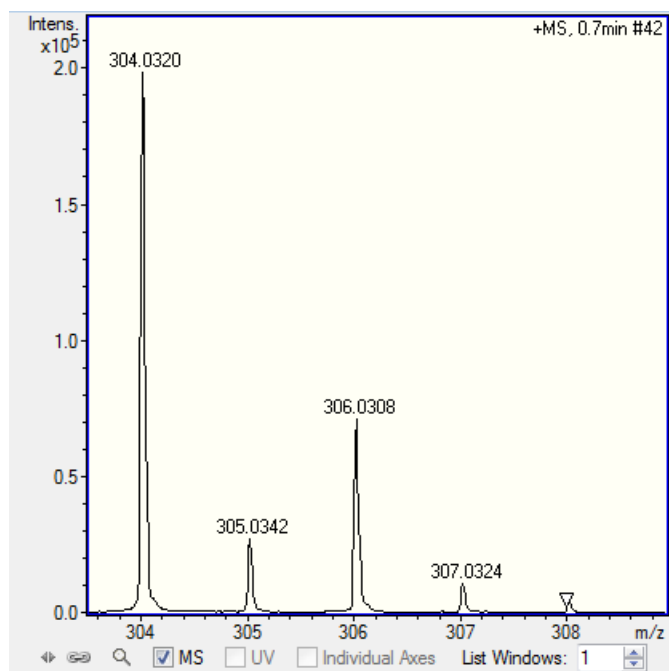
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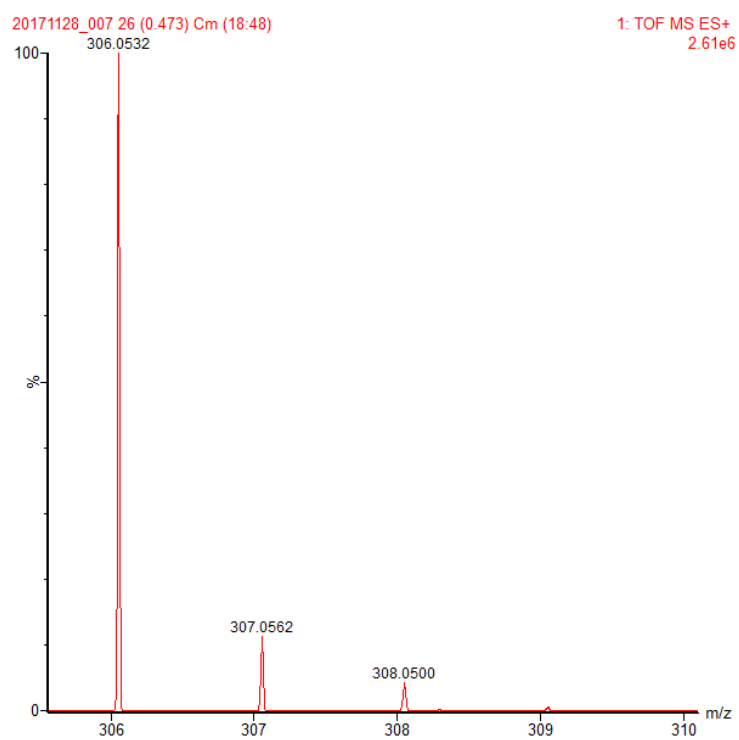
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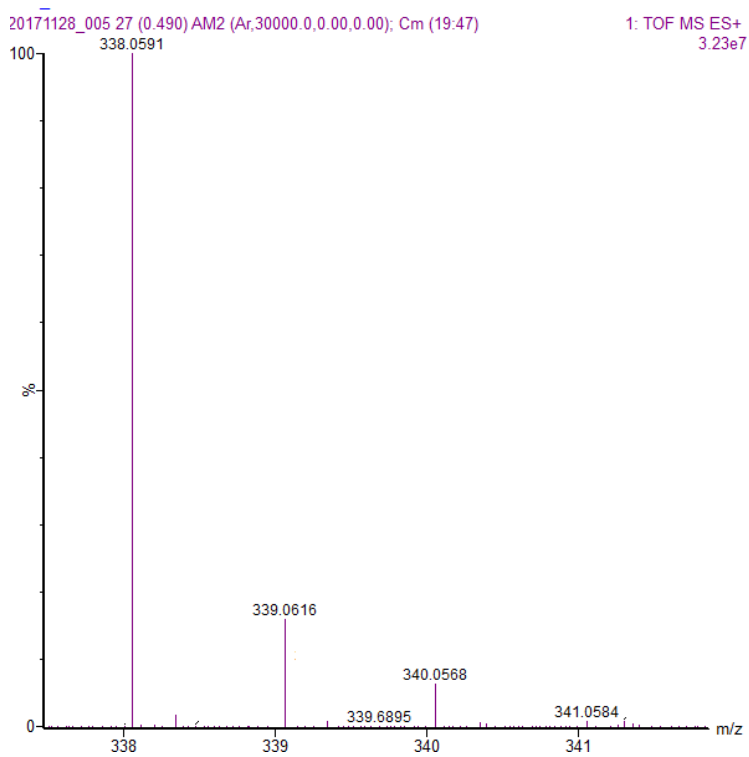
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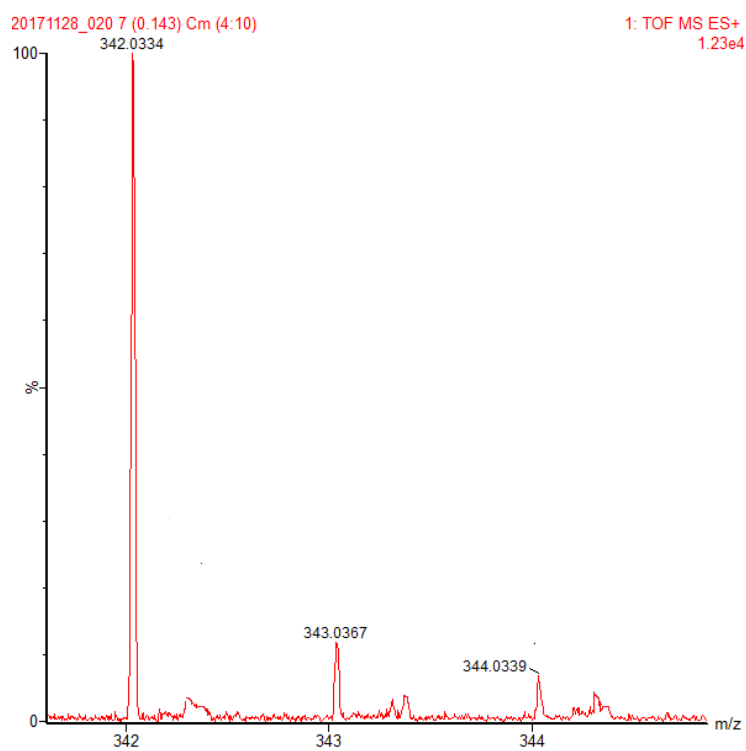
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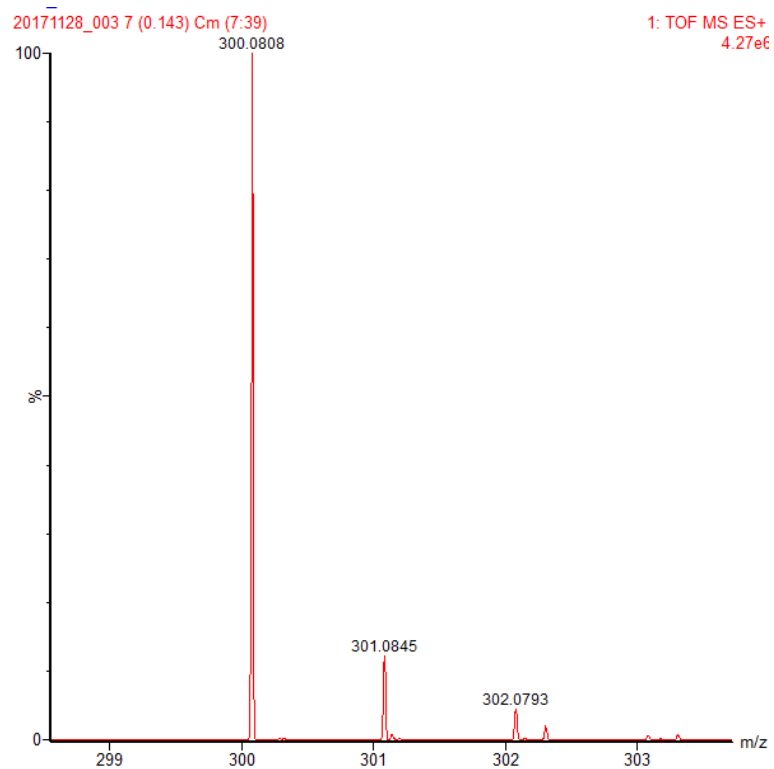
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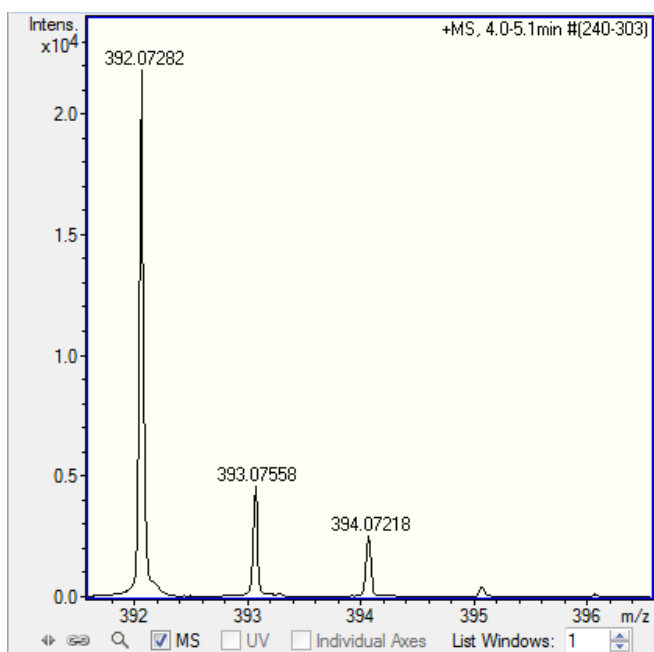
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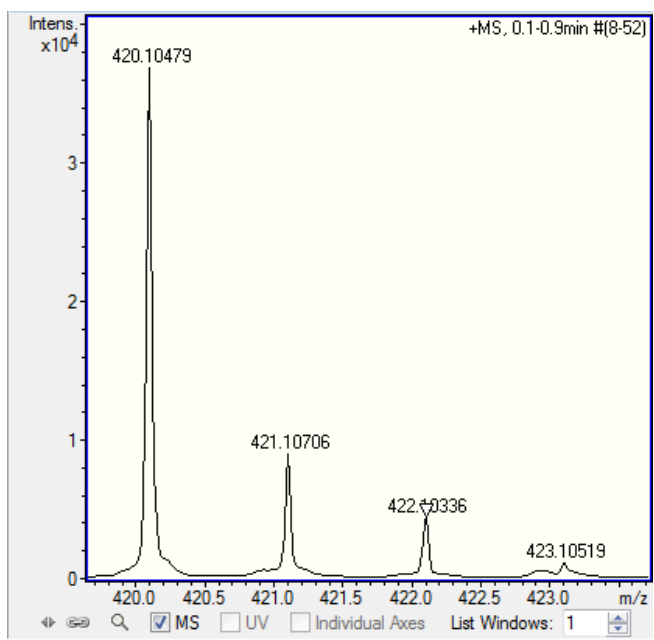
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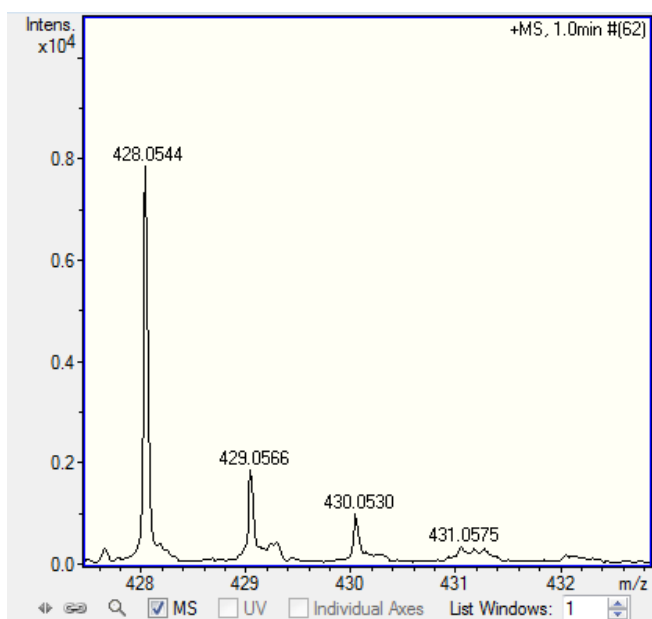
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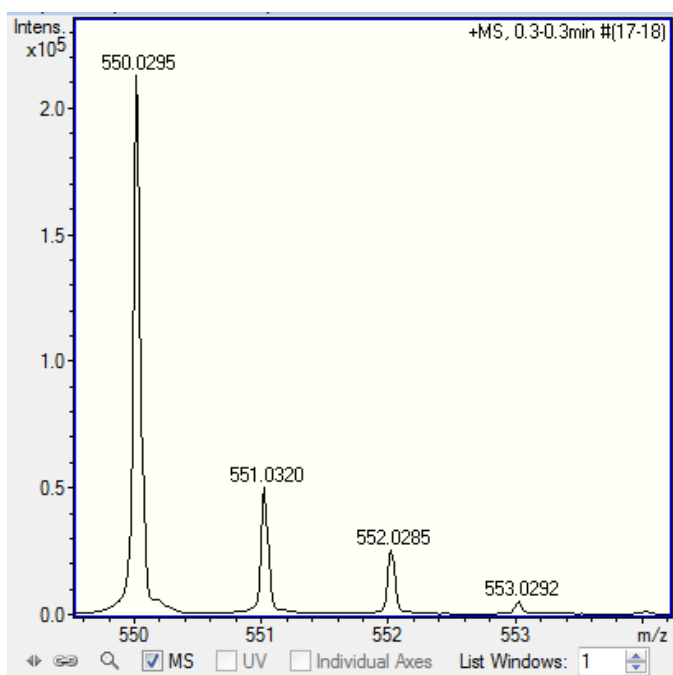
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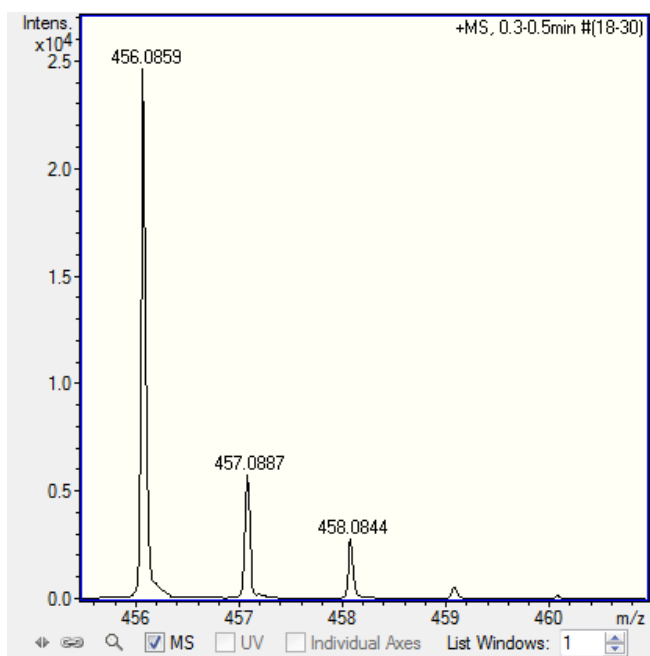
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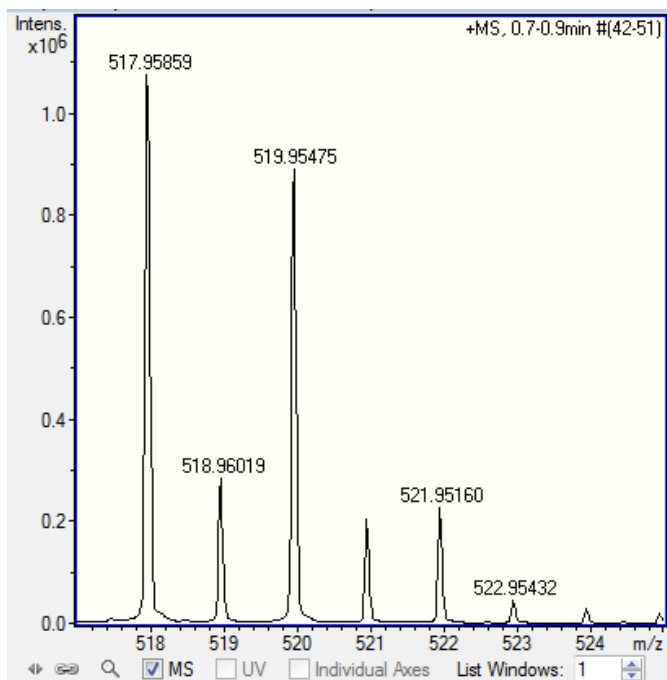
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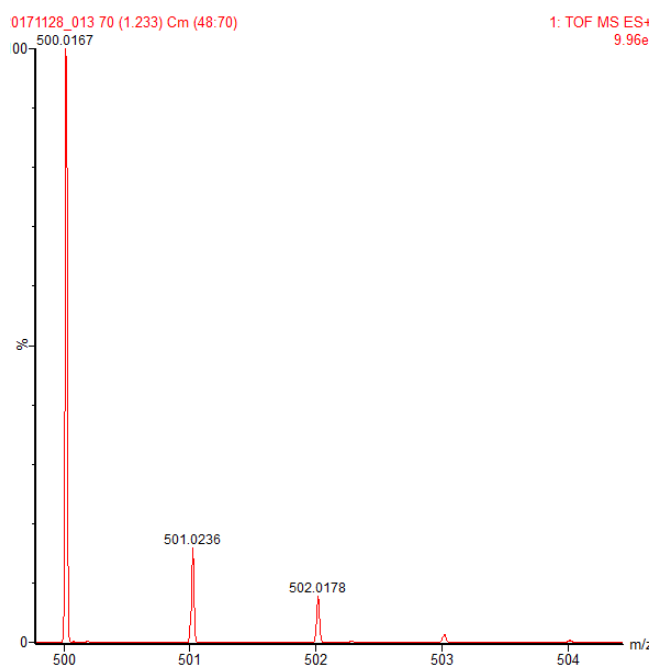
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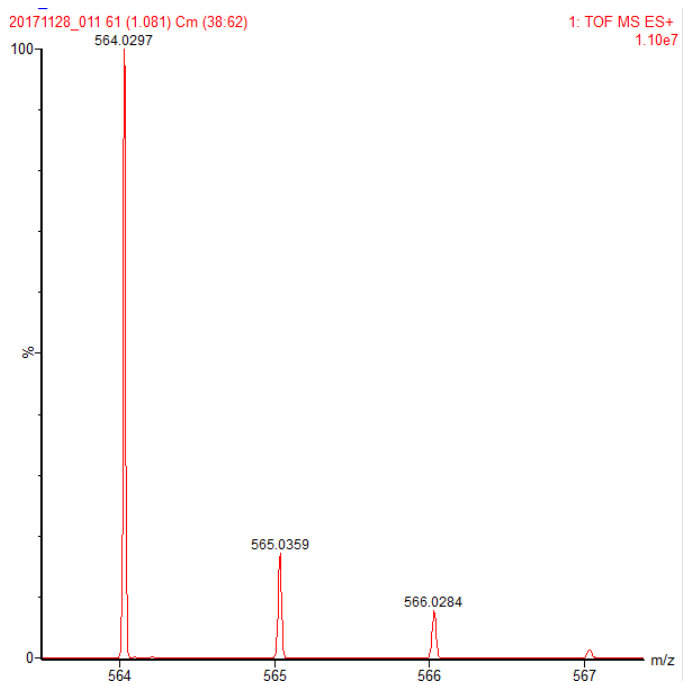
Compound II f



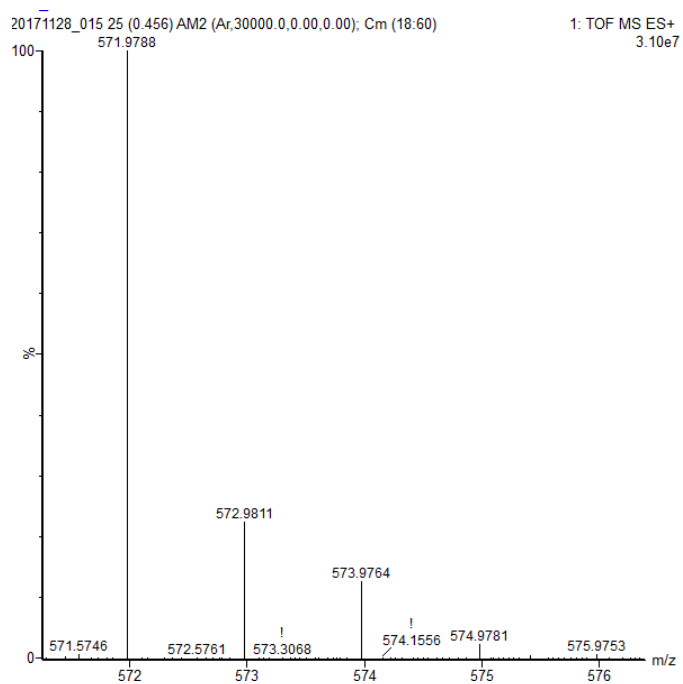
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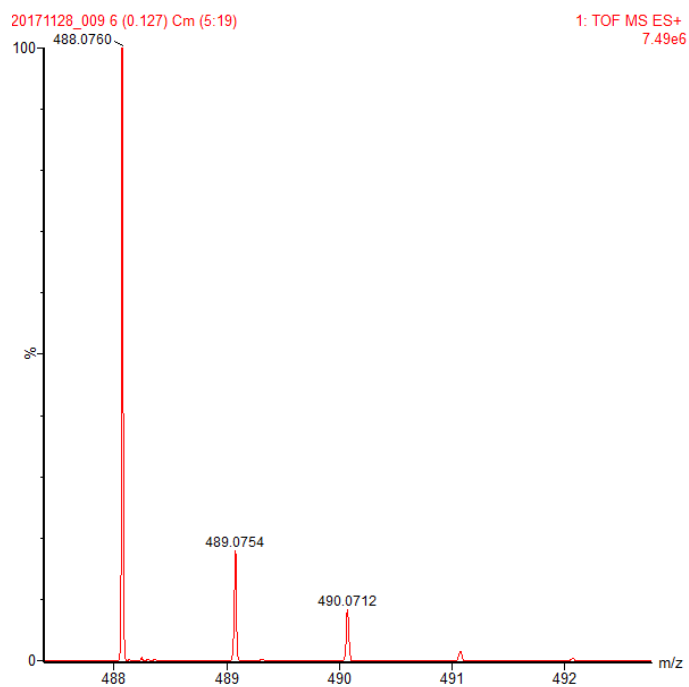
Compound IIh



Compound Ili

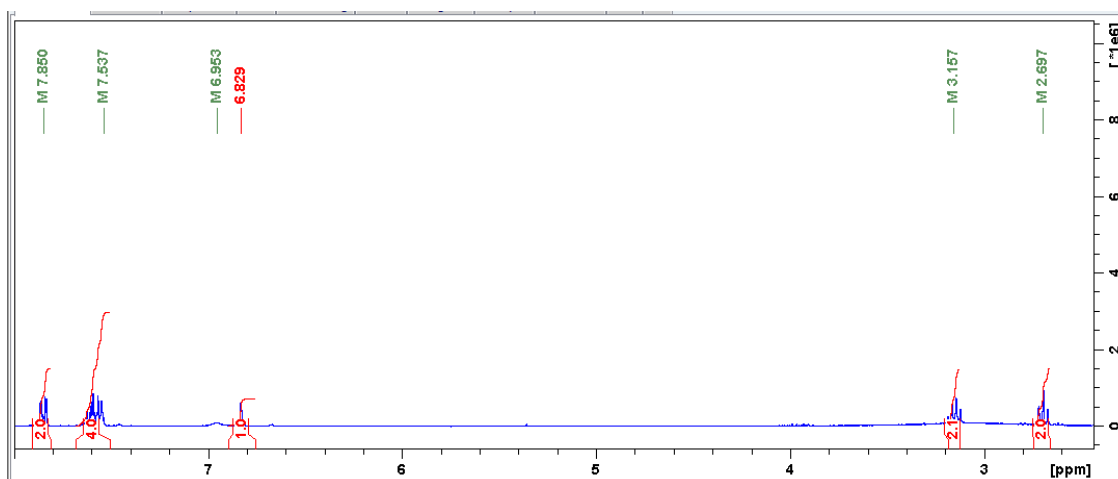


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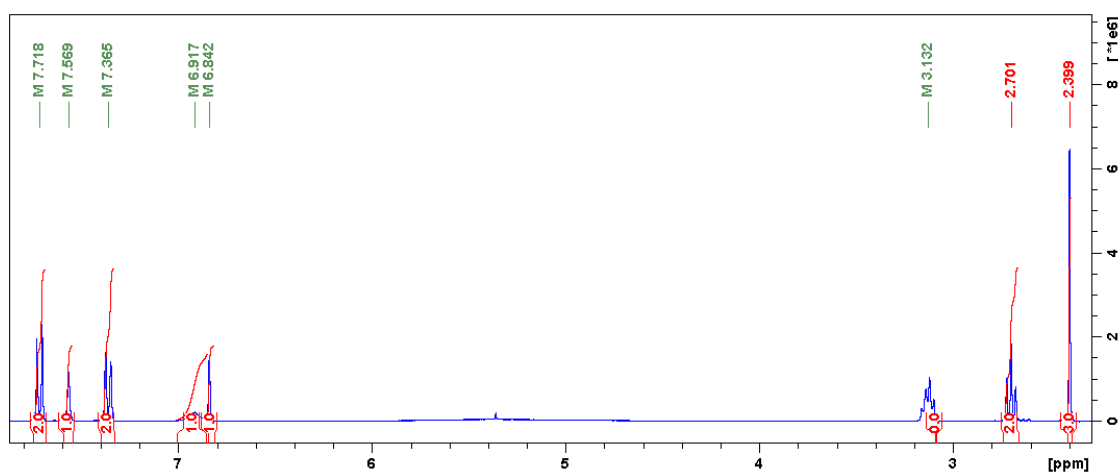


¹H NMR(300 MHz) of compounds Ia-Ij.....S50

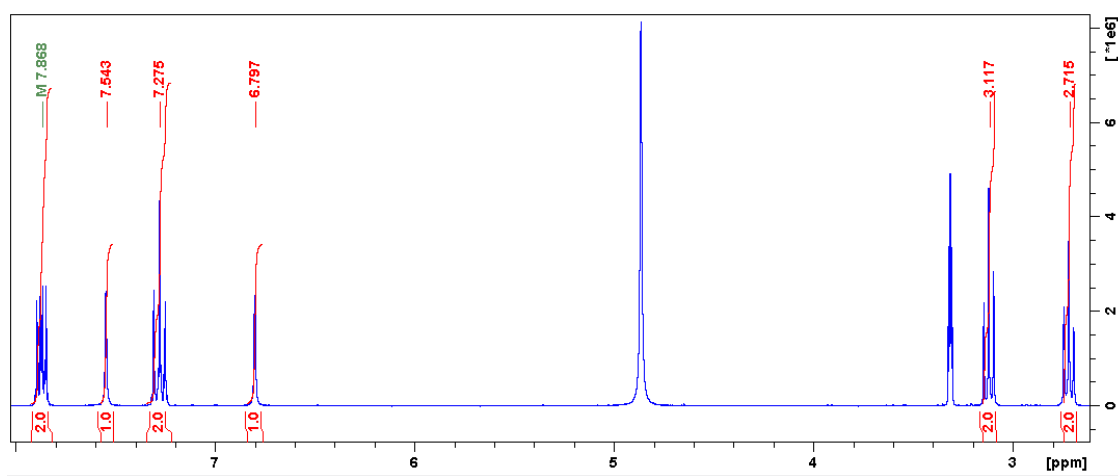
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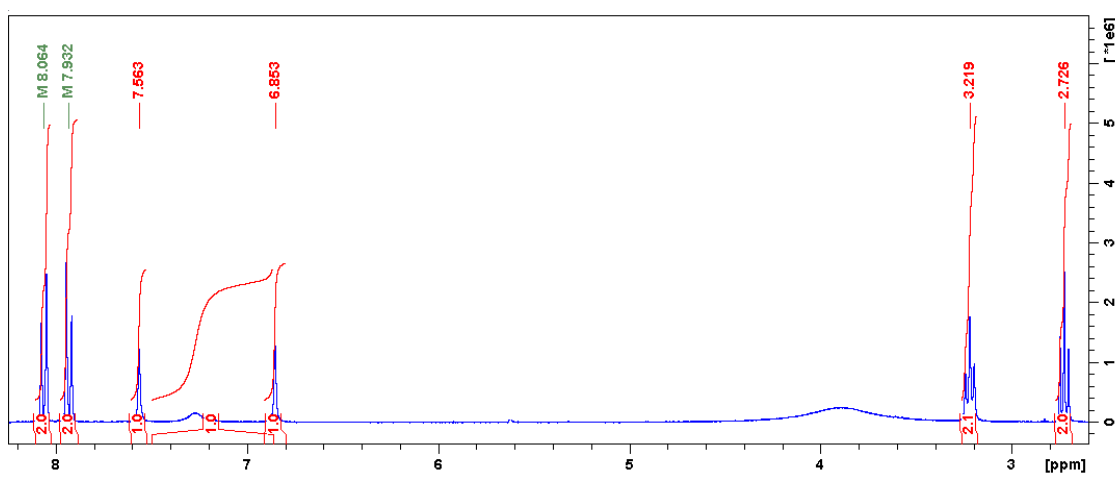
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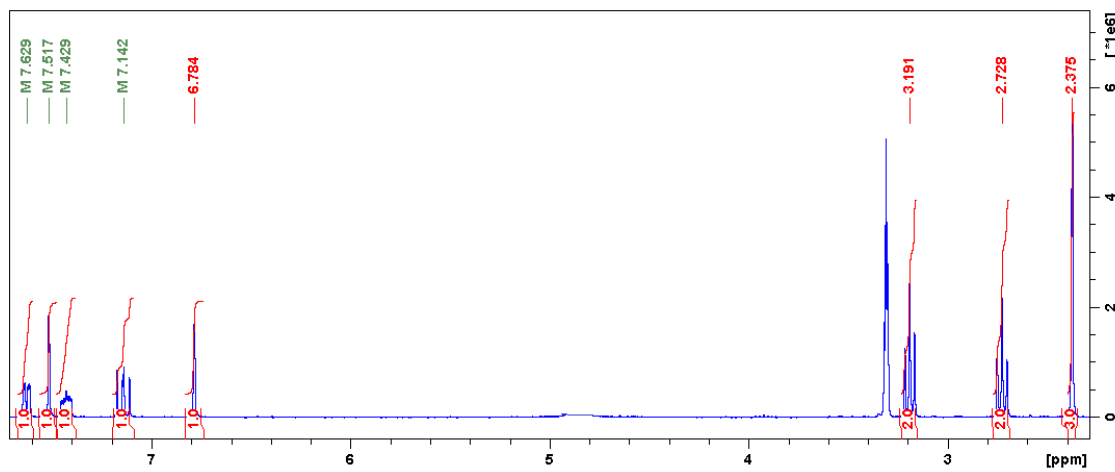
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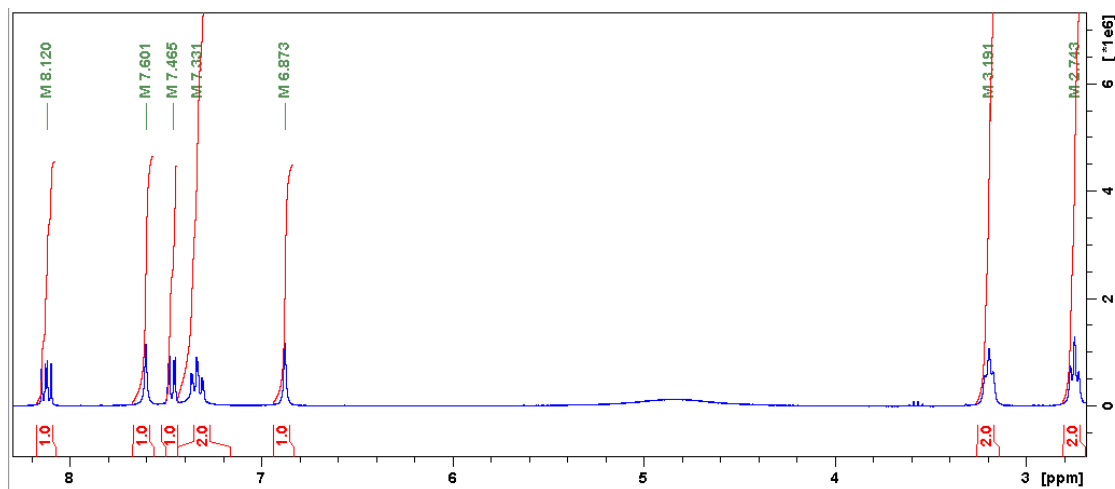
Compound Id



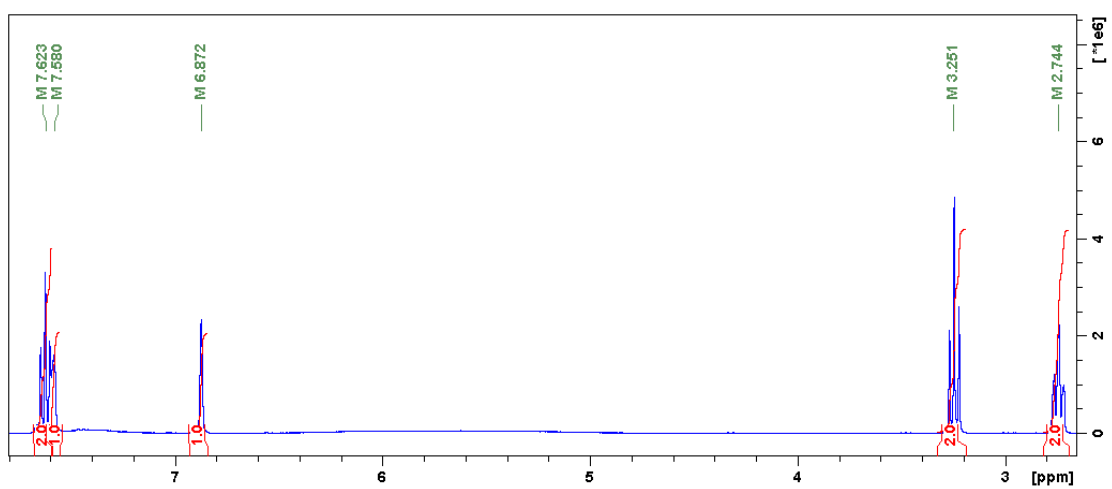
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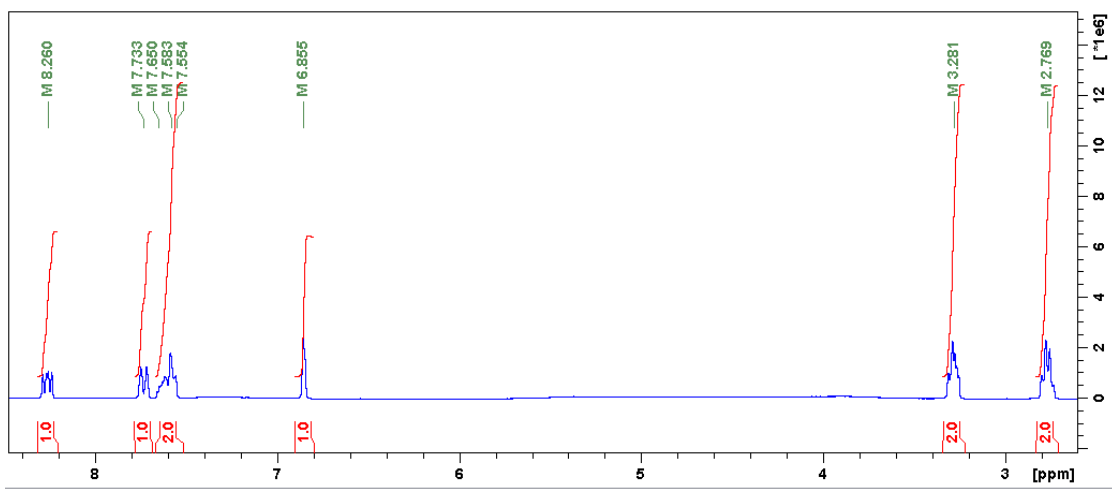
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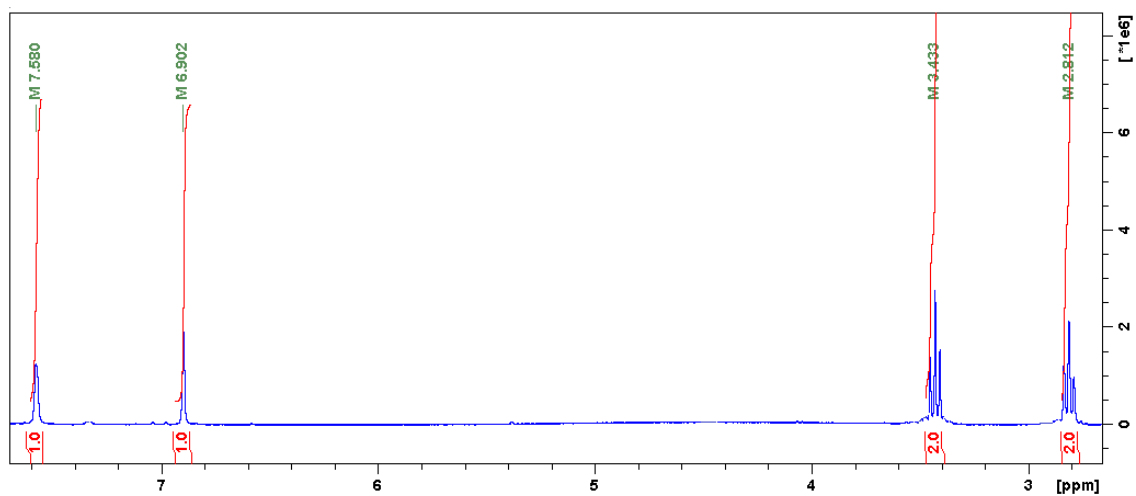
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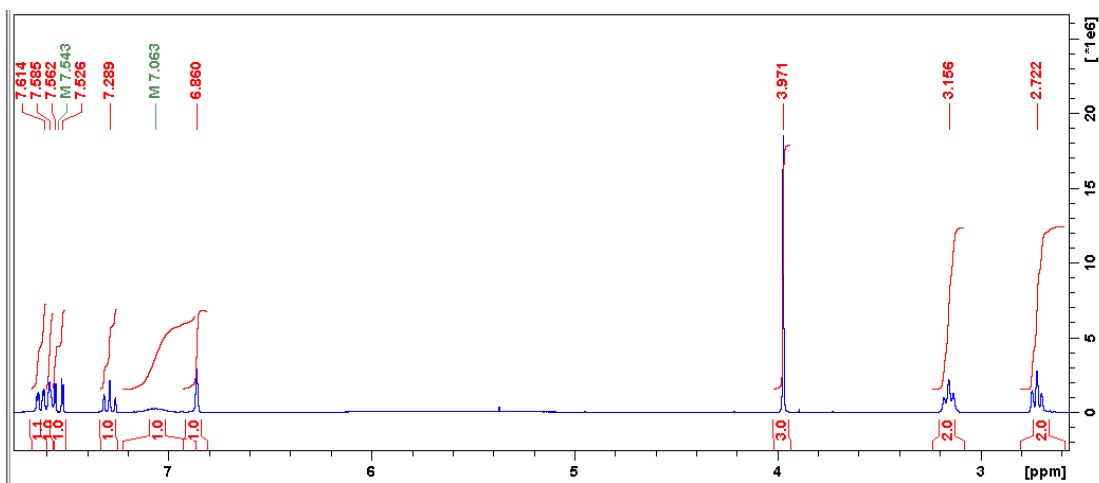
Compound Ih



Compound li

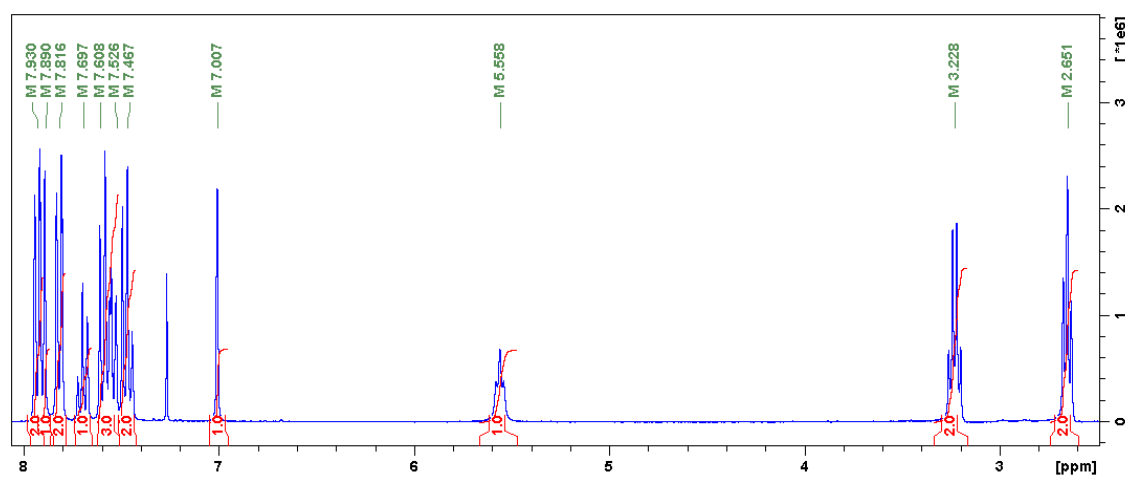


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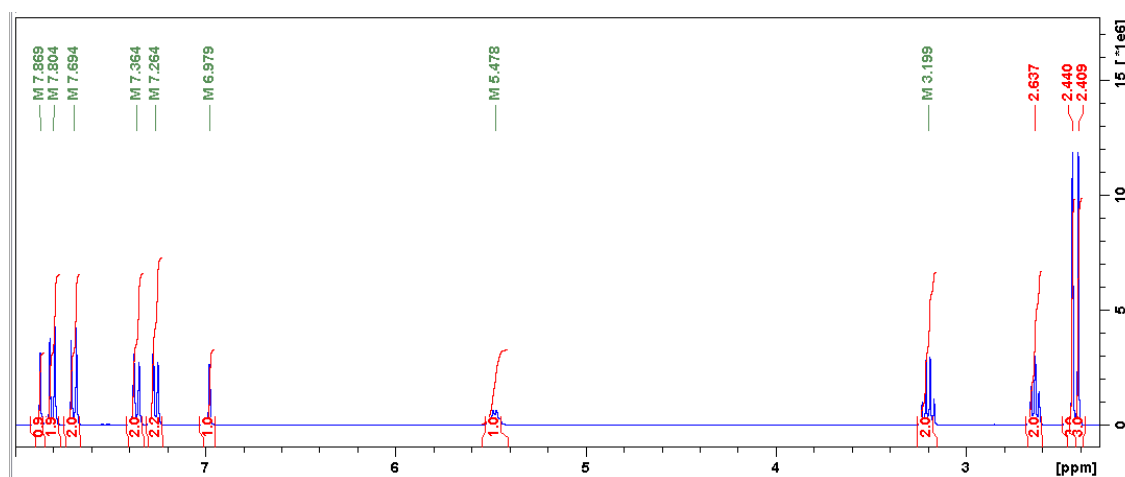


^1H NMR(300 MHz) of compounds IIa-IIj

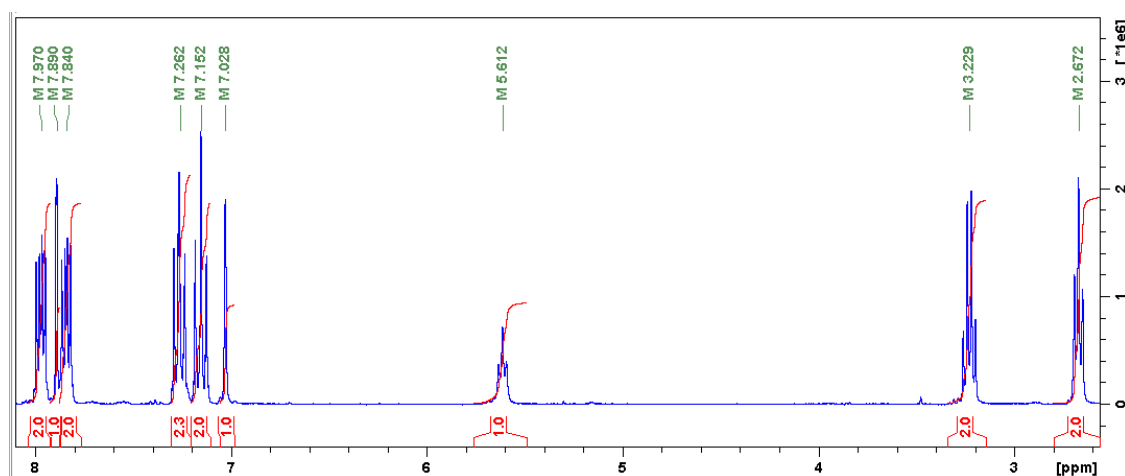
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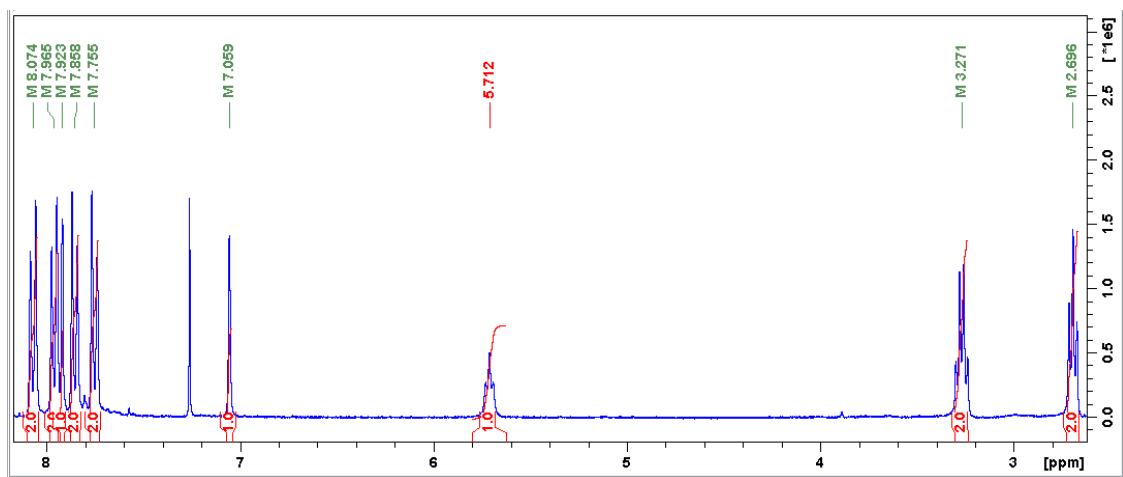
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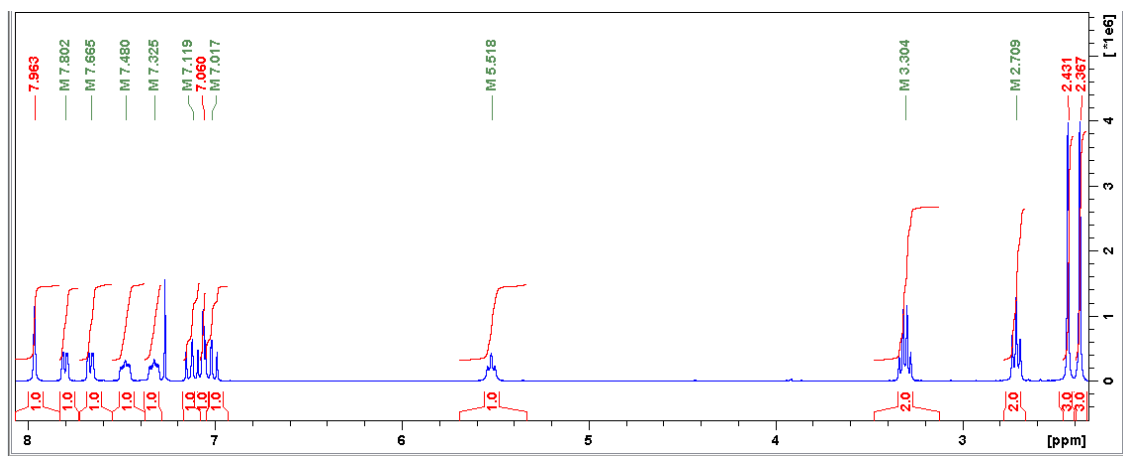
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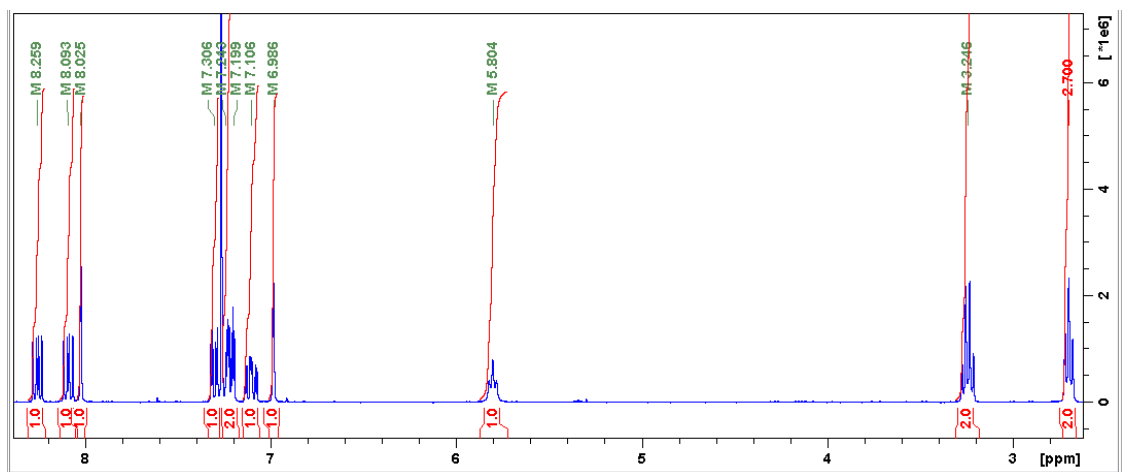
Compound II*d*



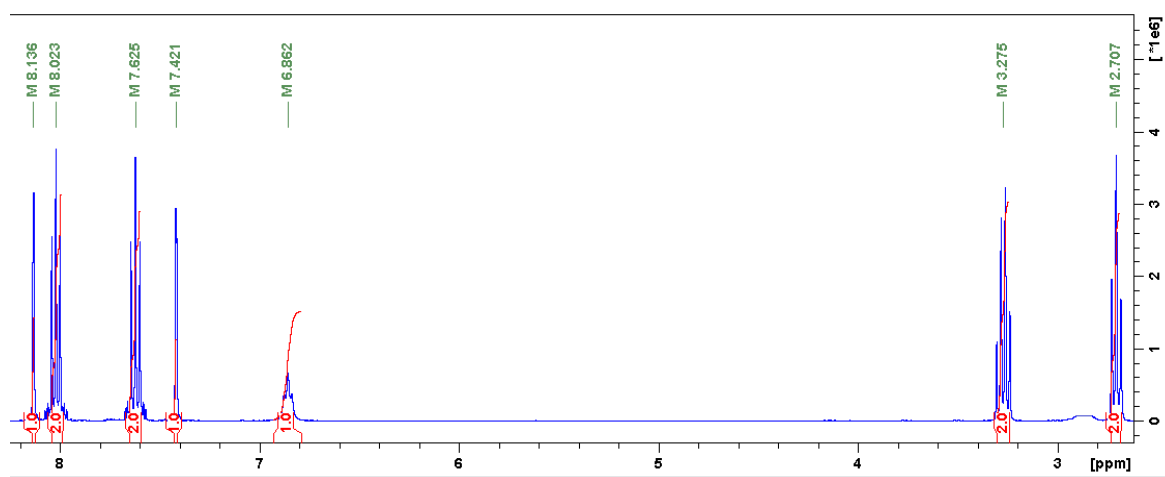
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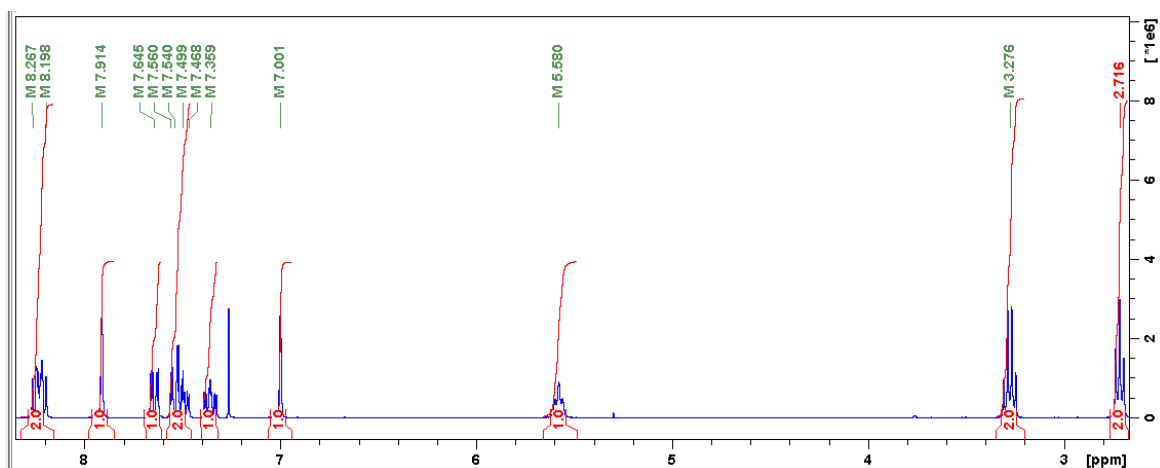
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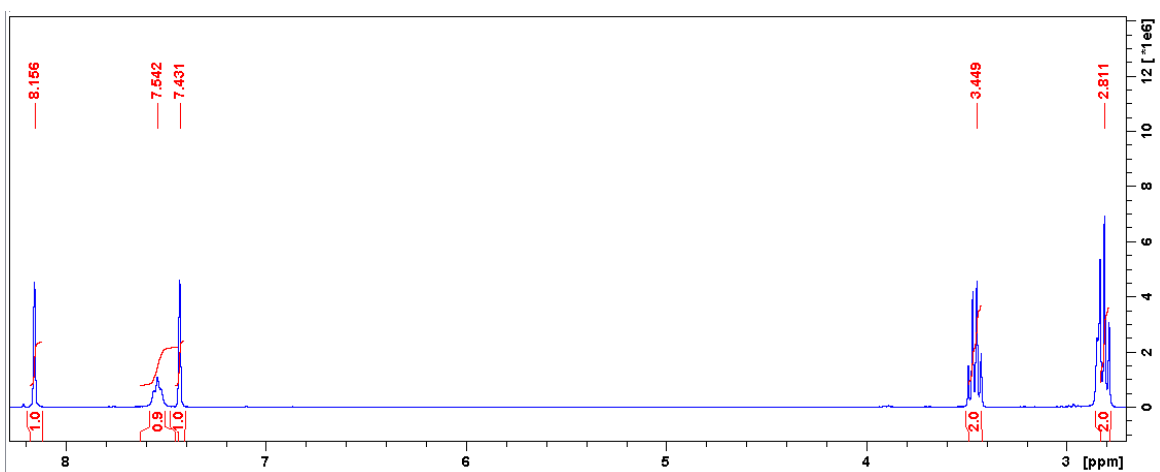
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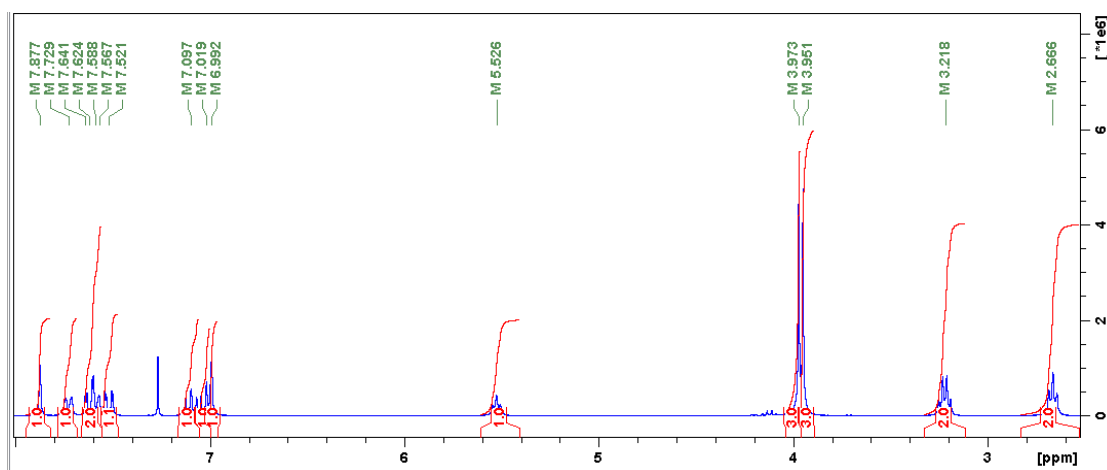
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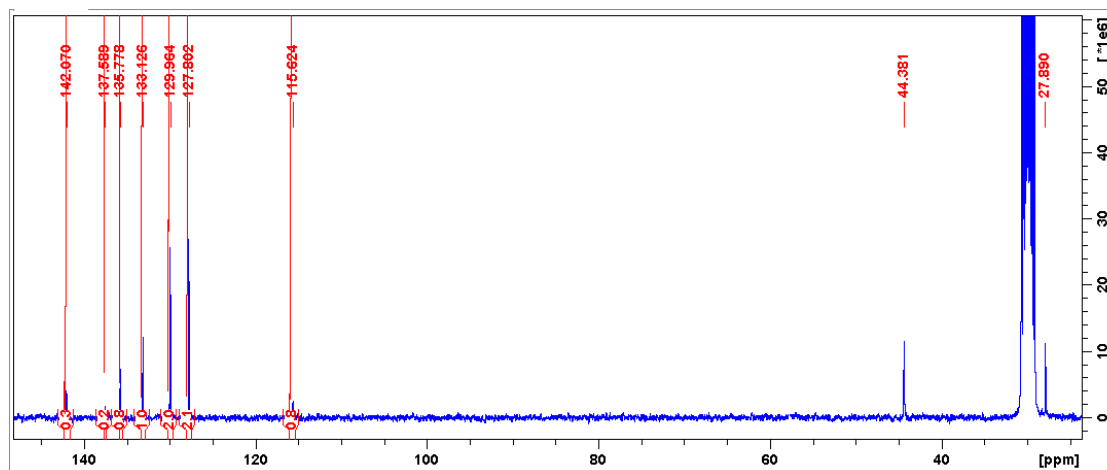
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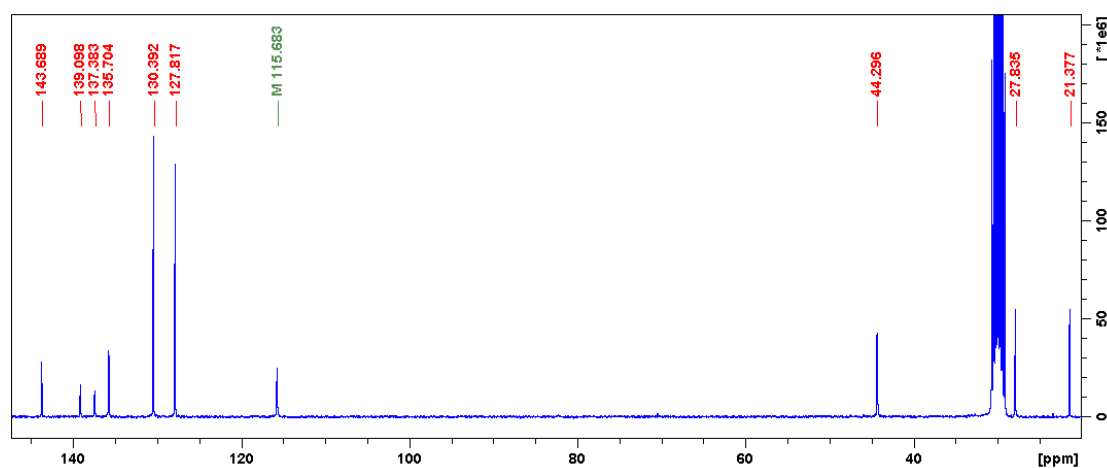
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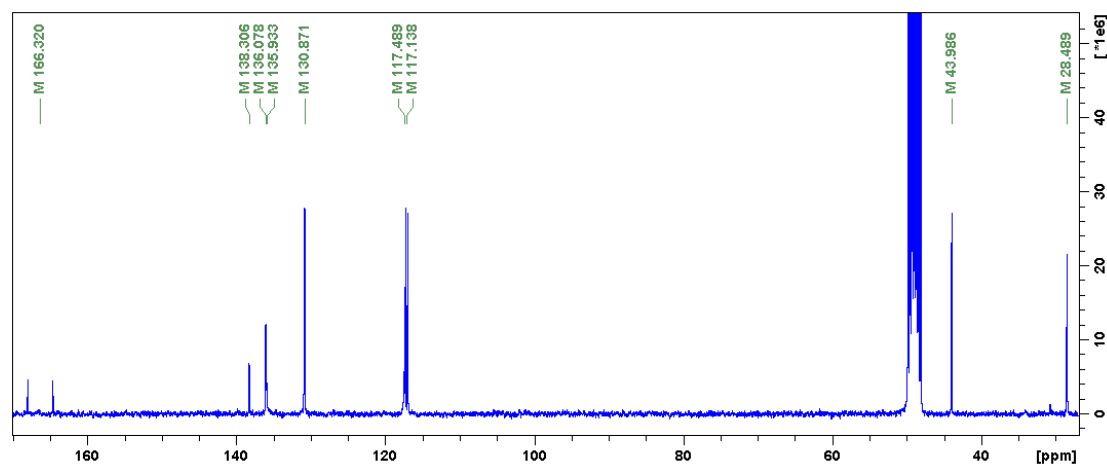
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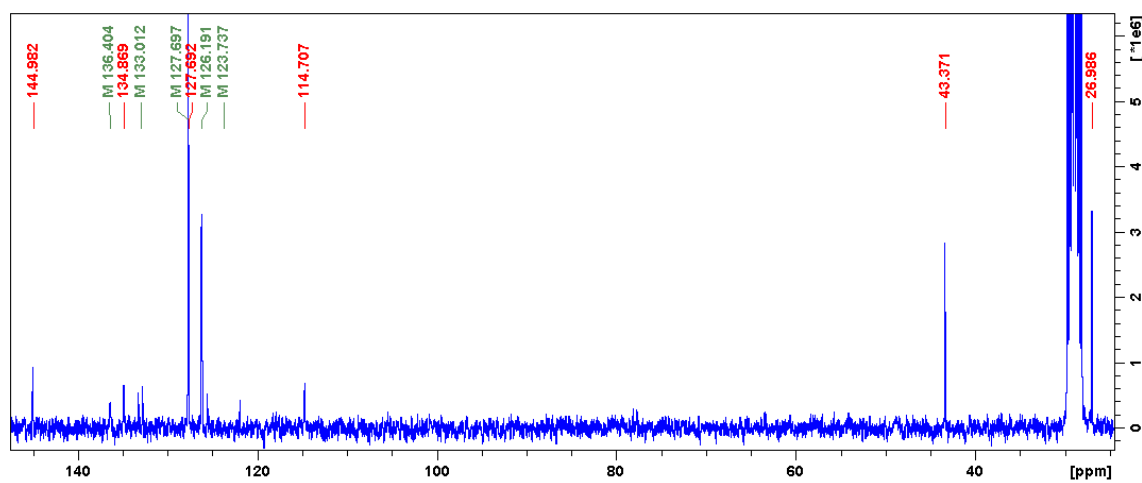
Compound Ib



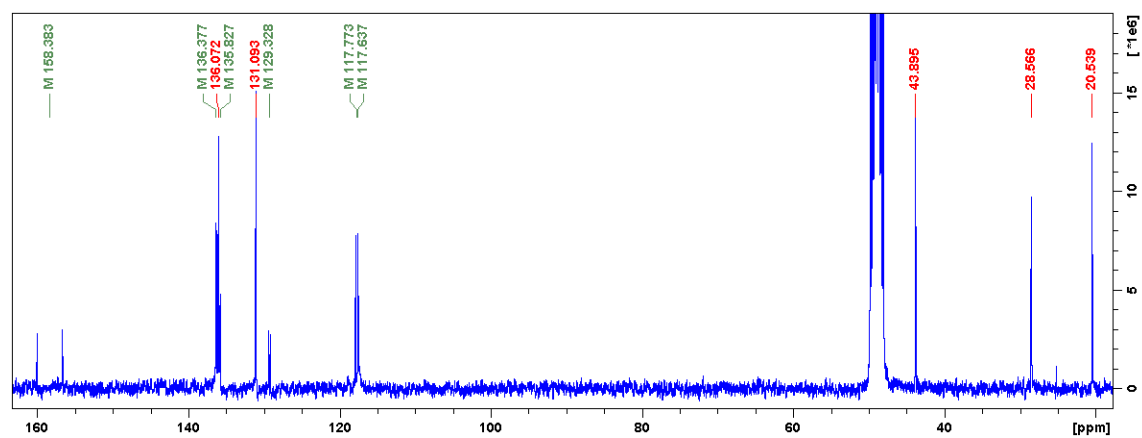
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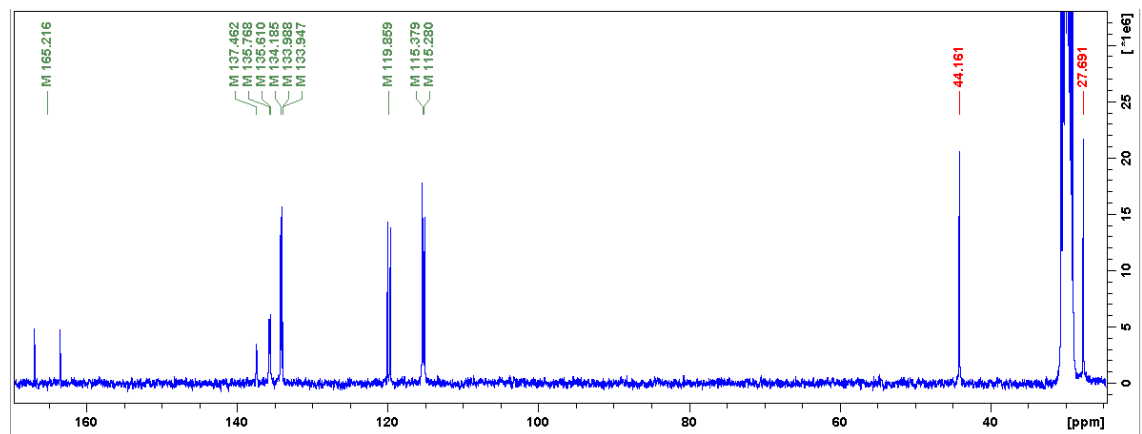
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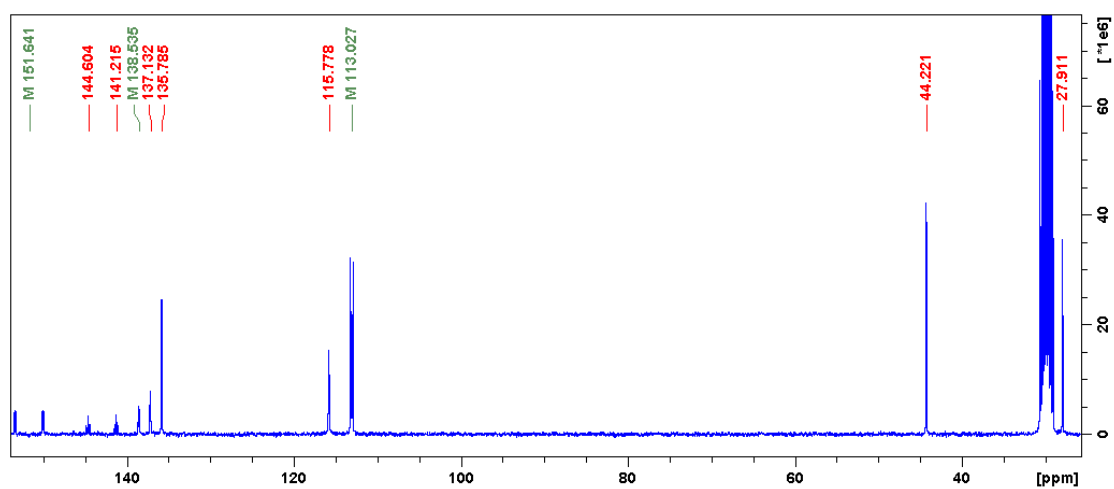
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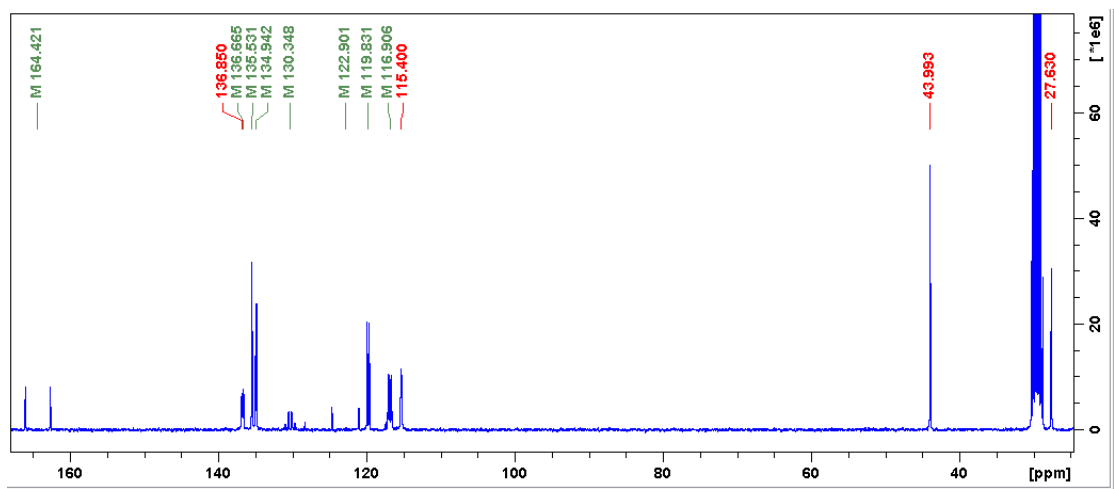
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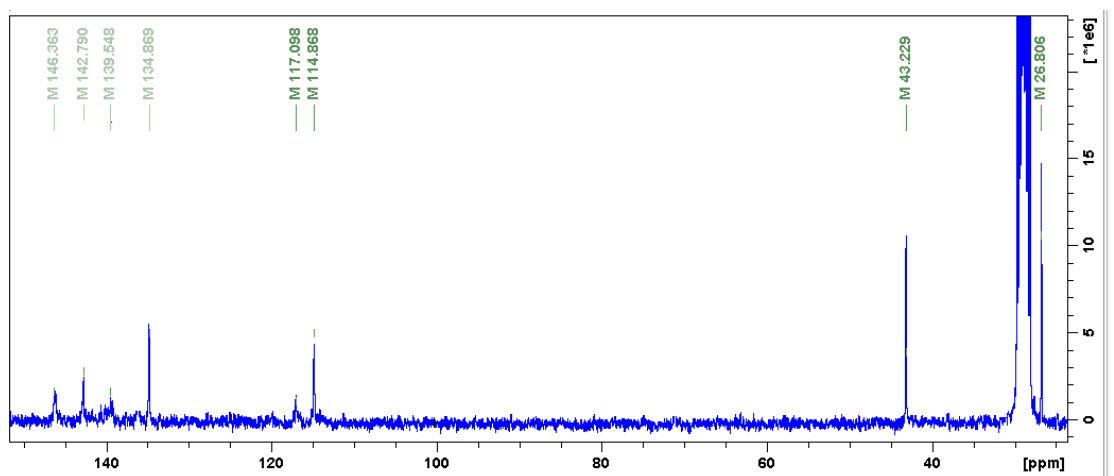
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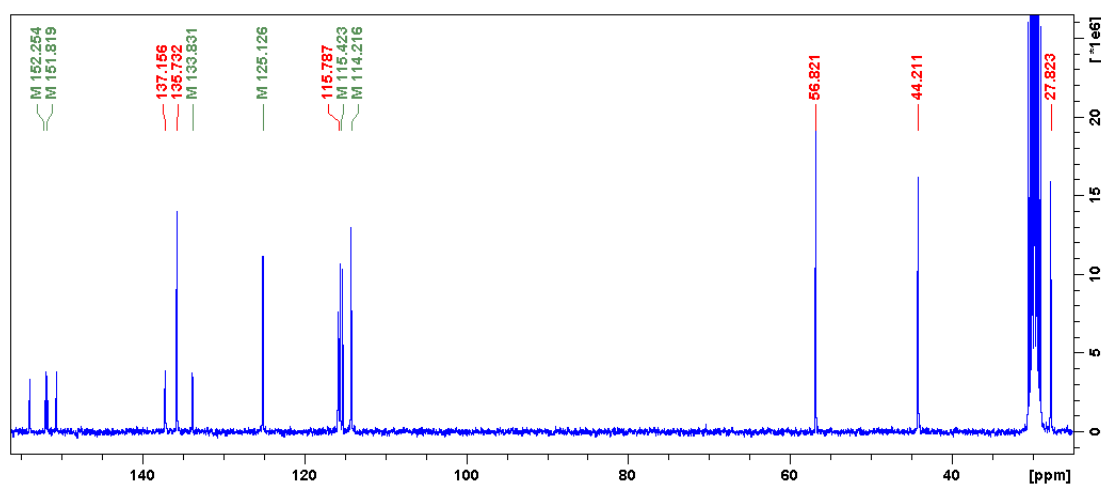
Compound Ih



Compound li

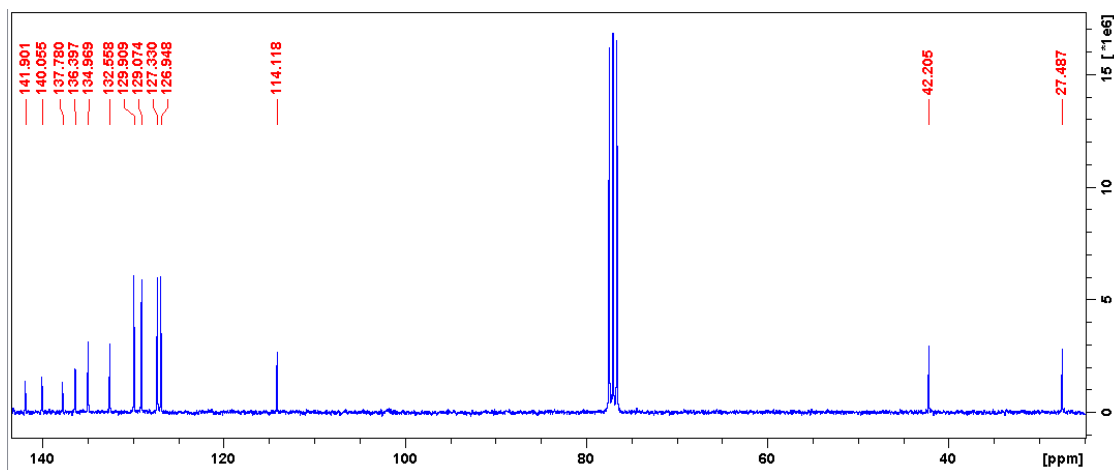


Compound lj

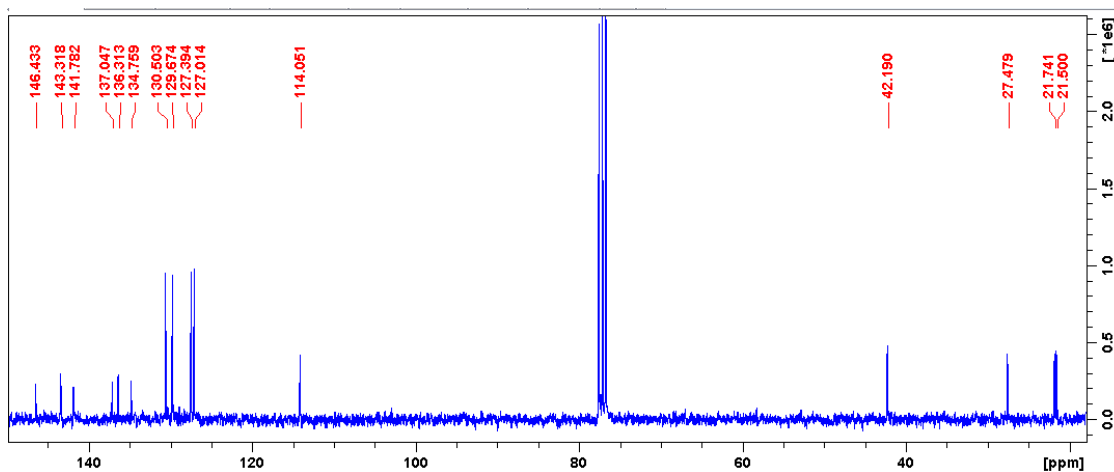


^{13}C NMR(300 MHz) of compounds IIa-IIj

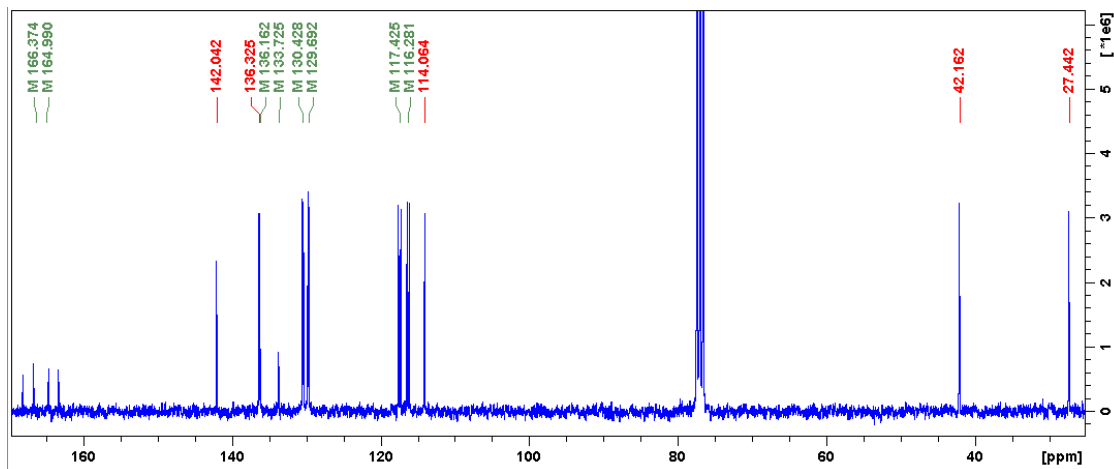
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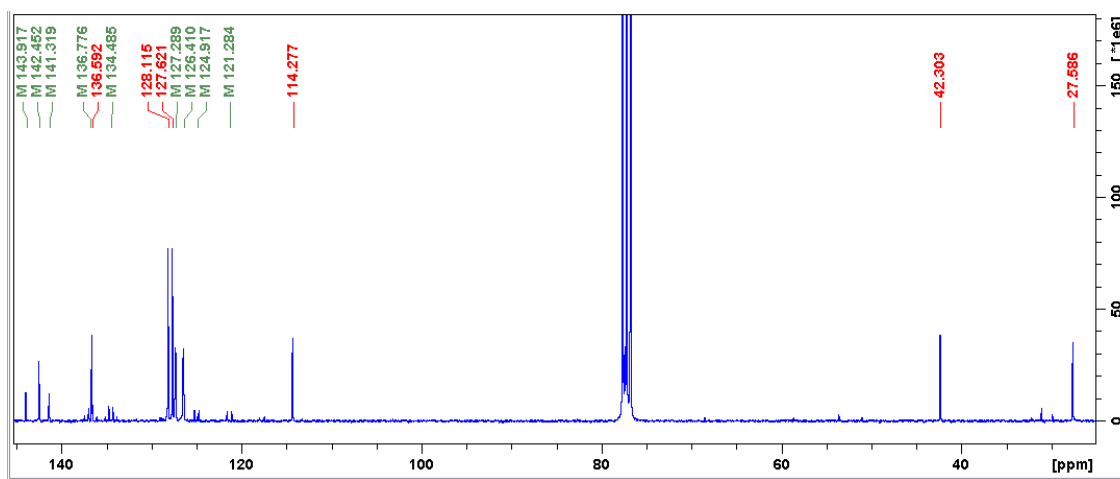
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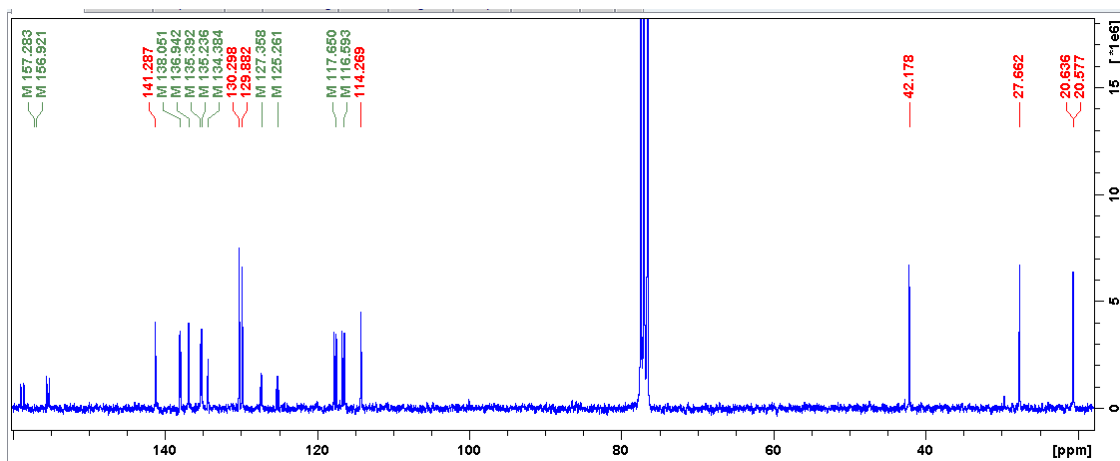
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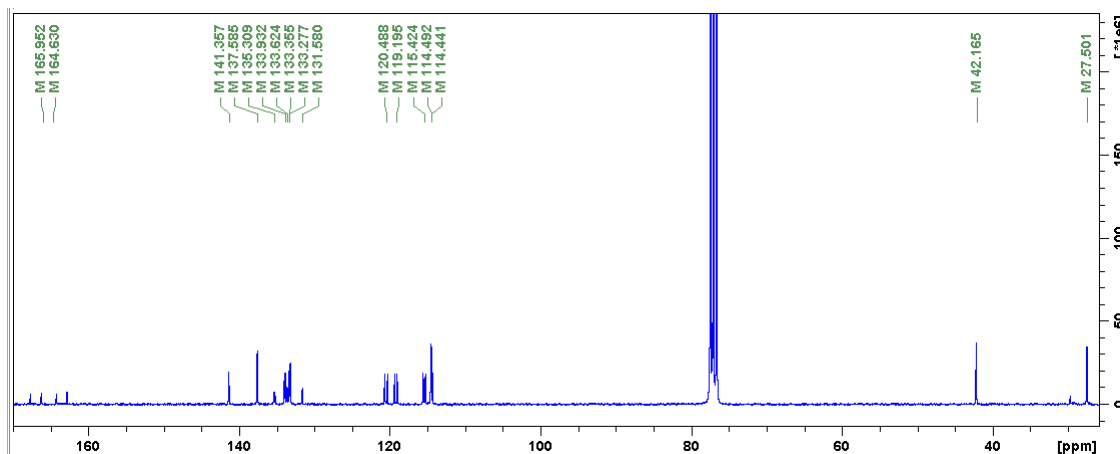
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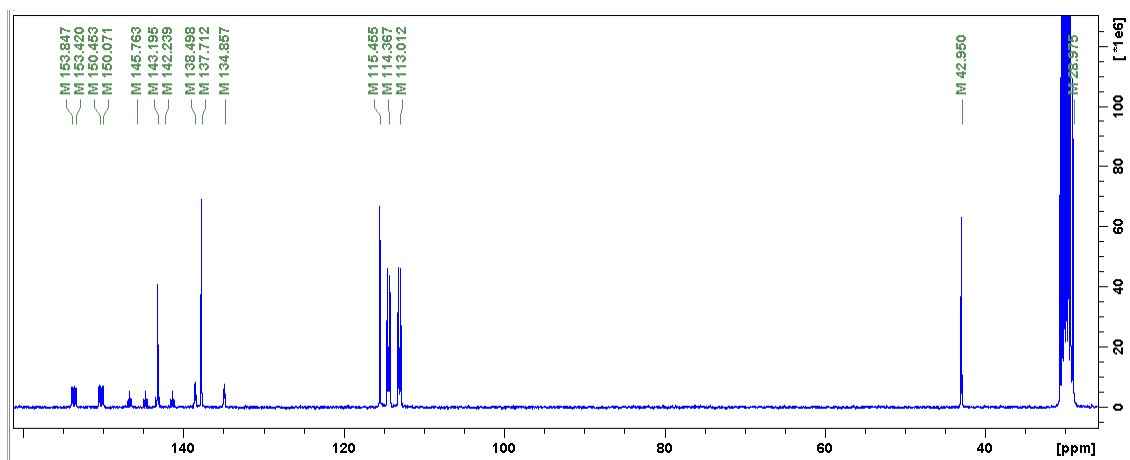
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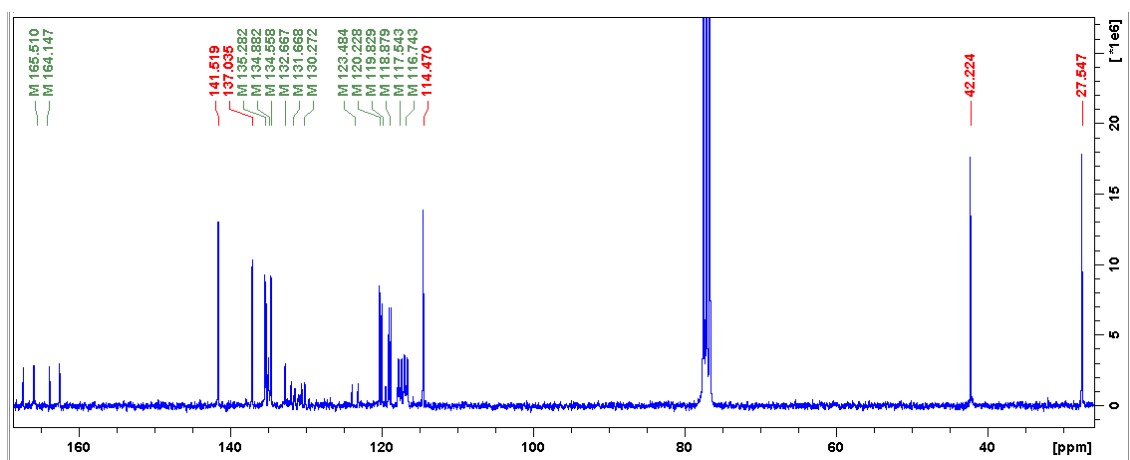
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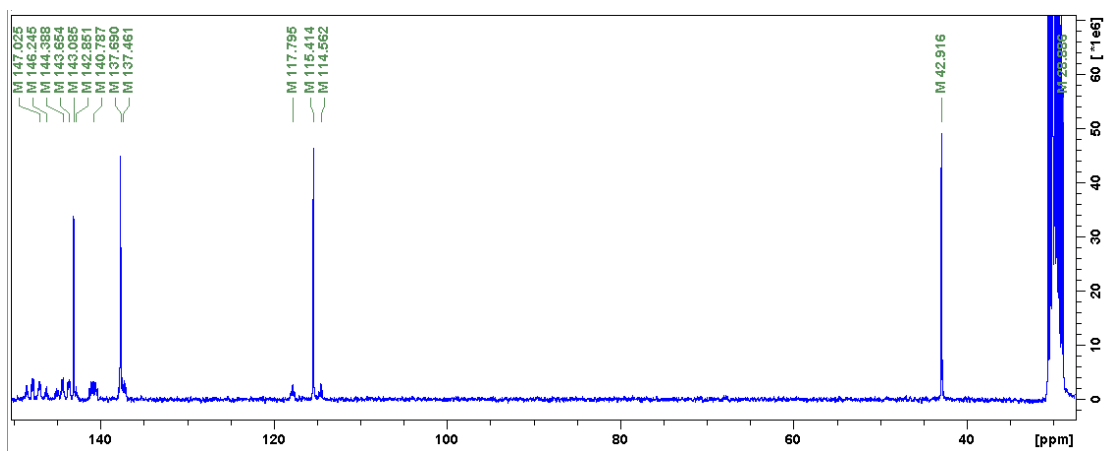
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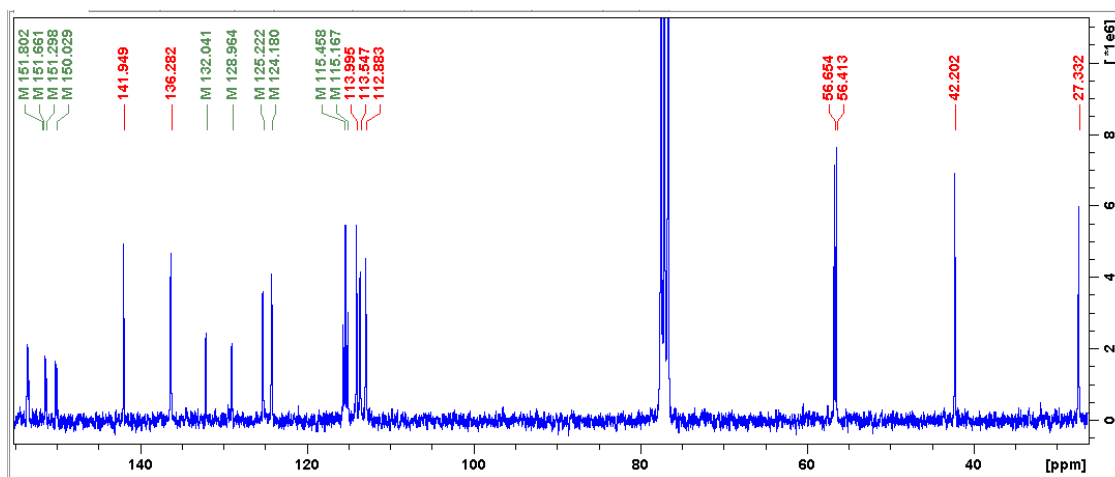
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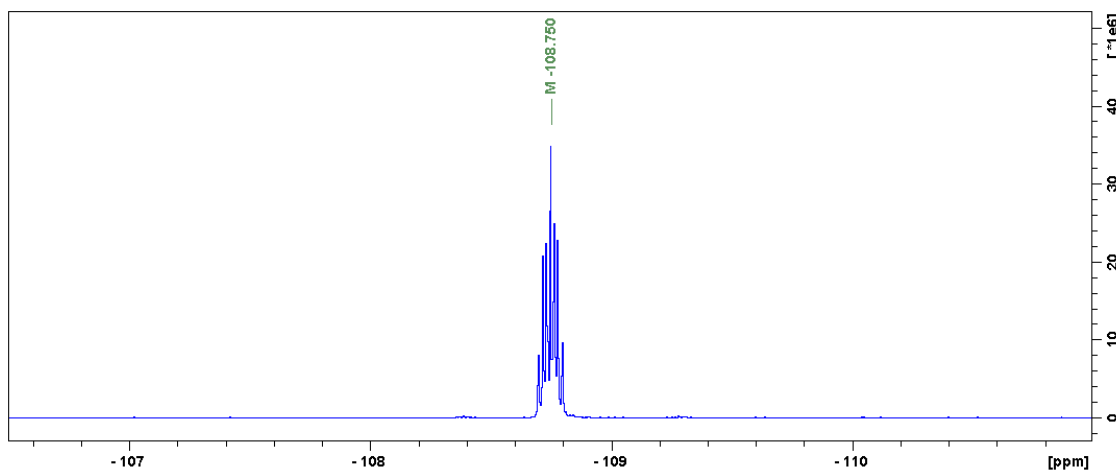
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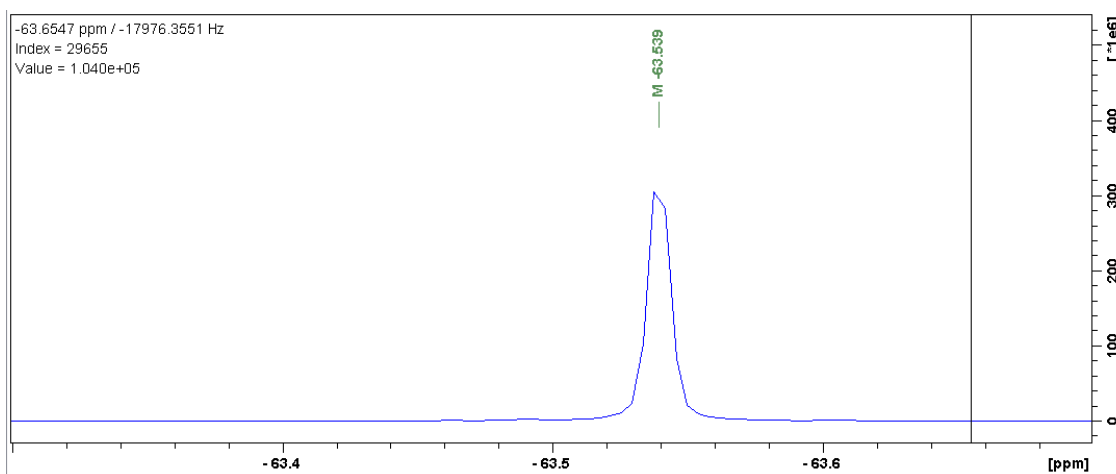
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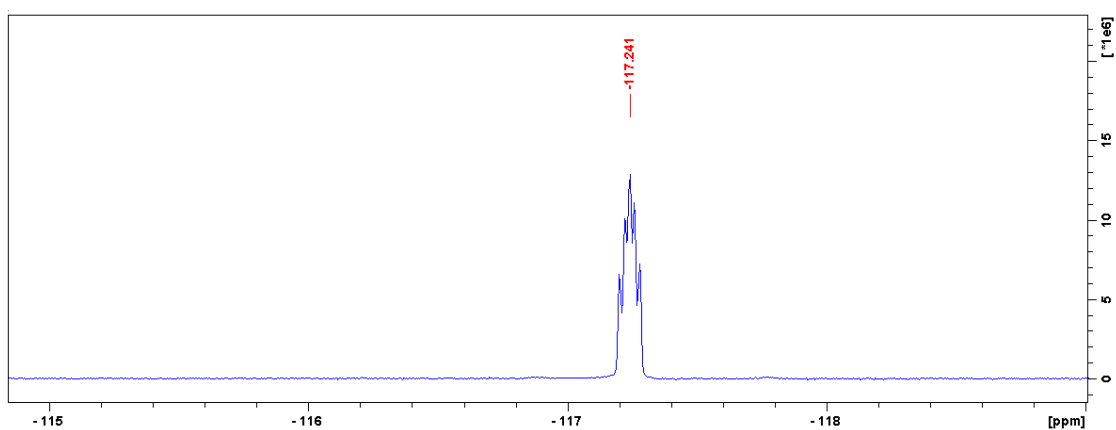
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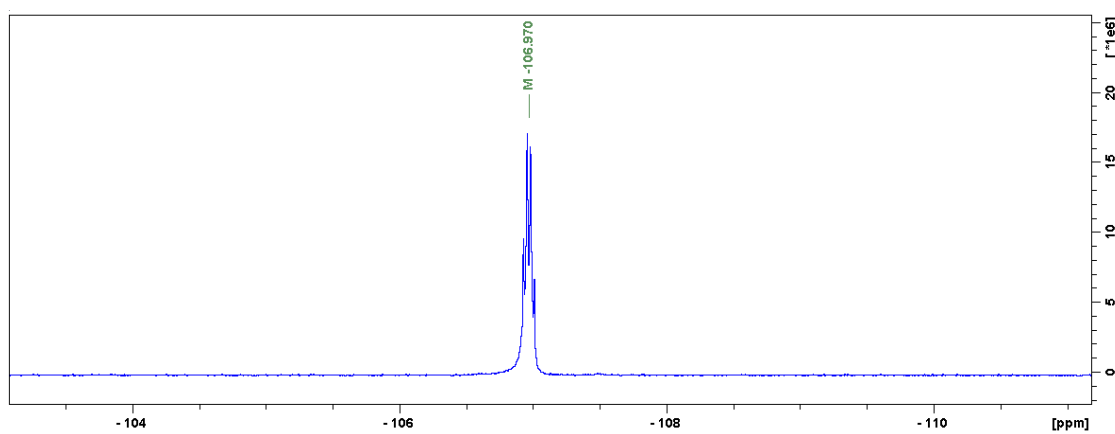
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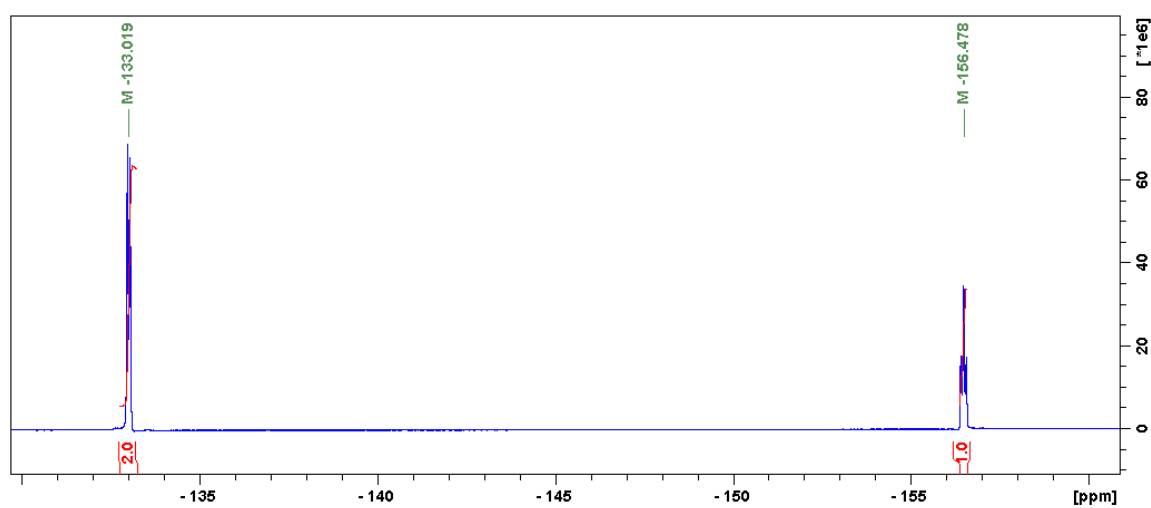
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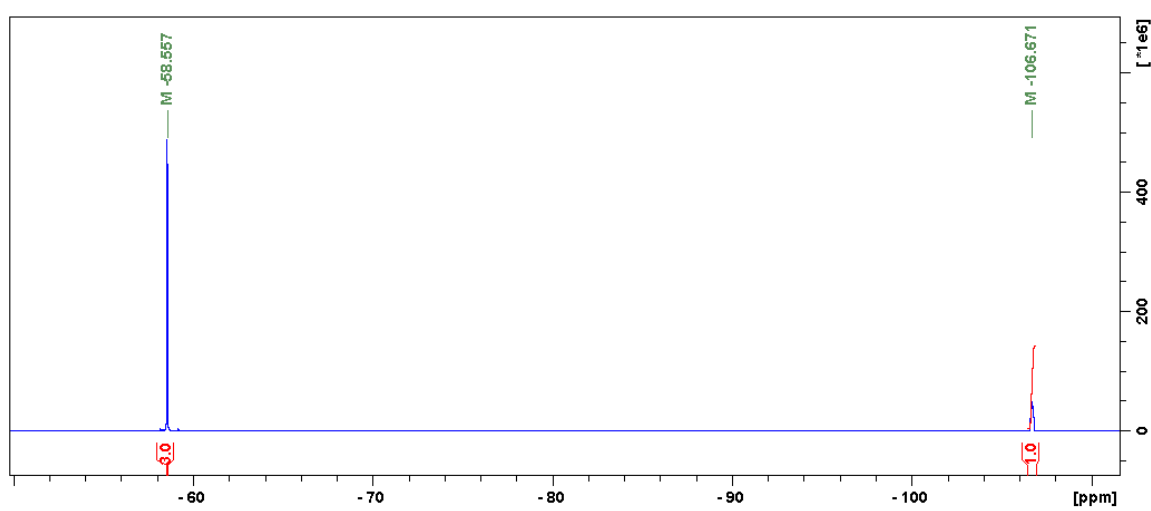
Compound If



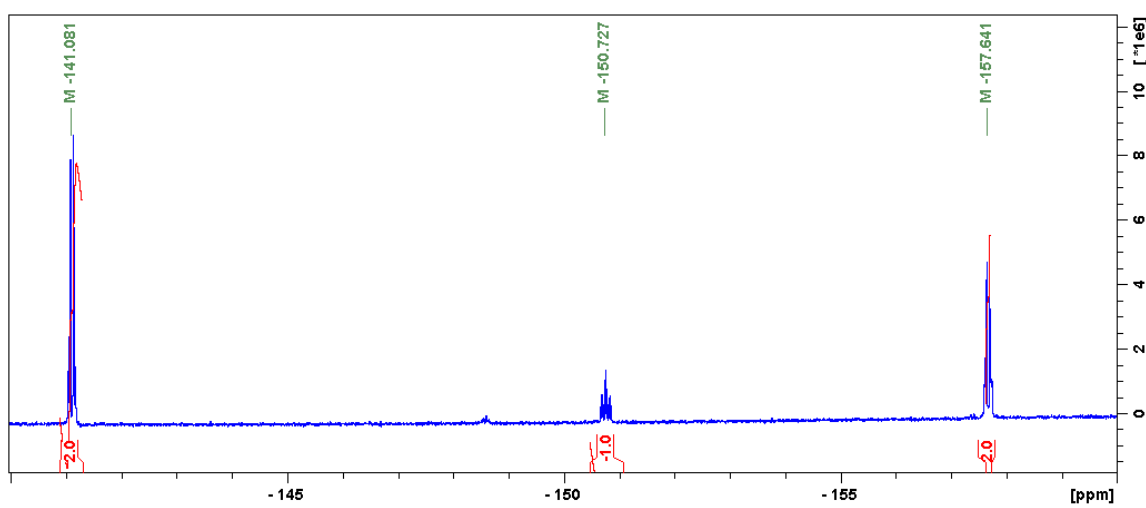
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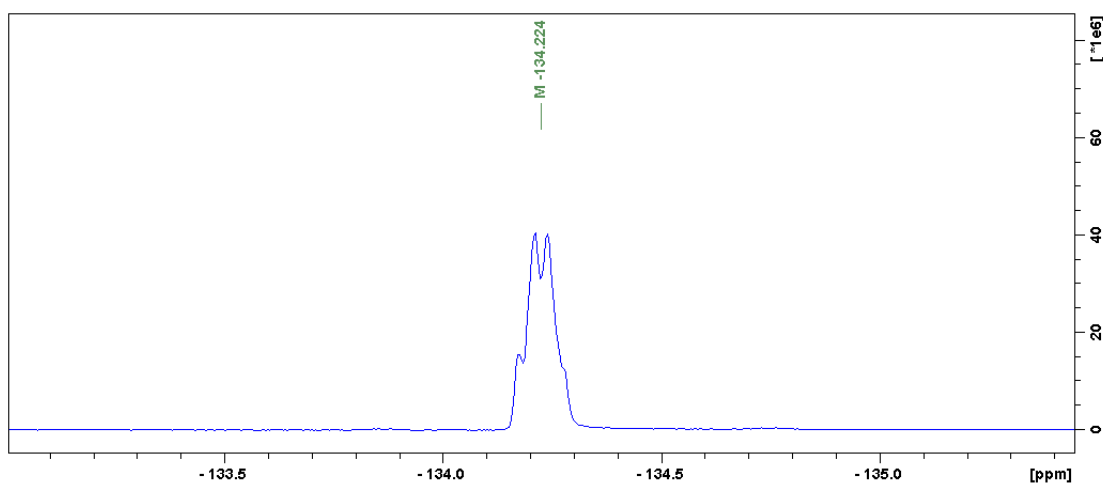
Compound Ih



Compound li

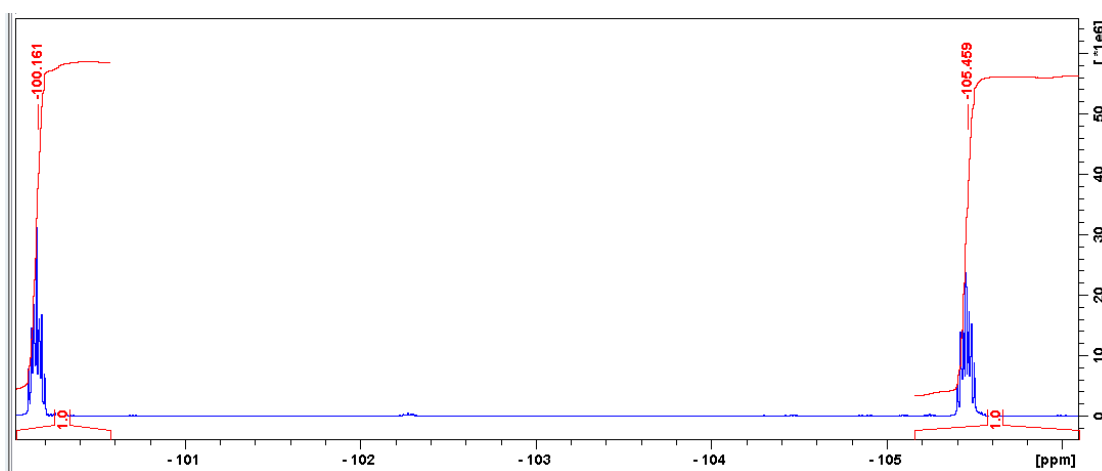


Compound lj

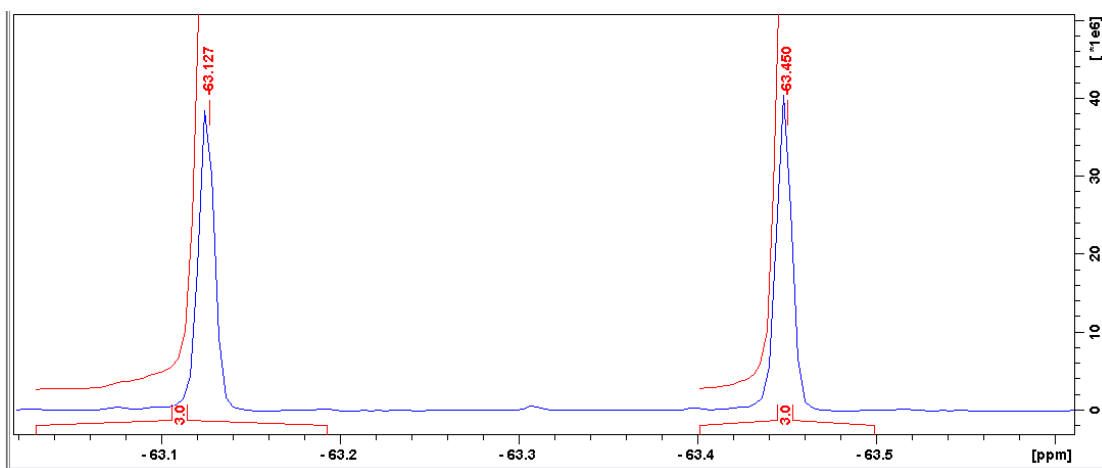


^{19}F NMR(300 MHz) of compounds IIc-IIj

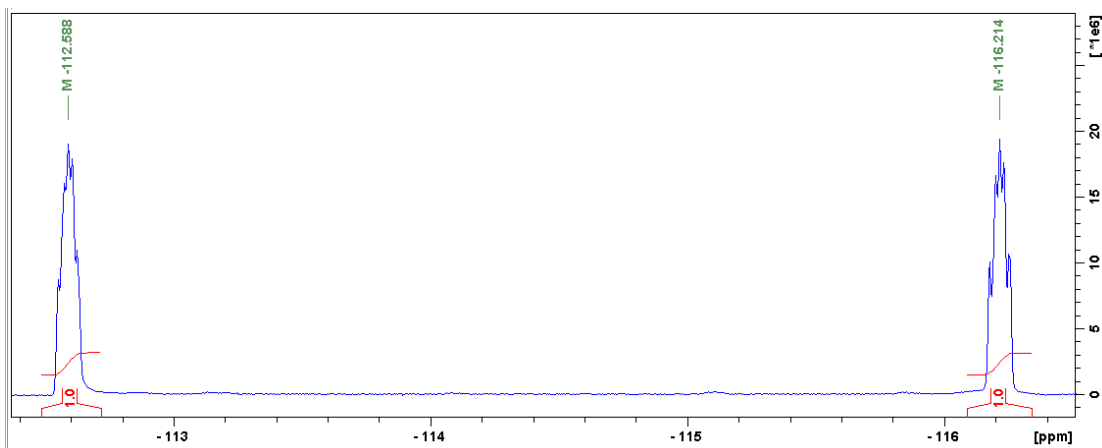
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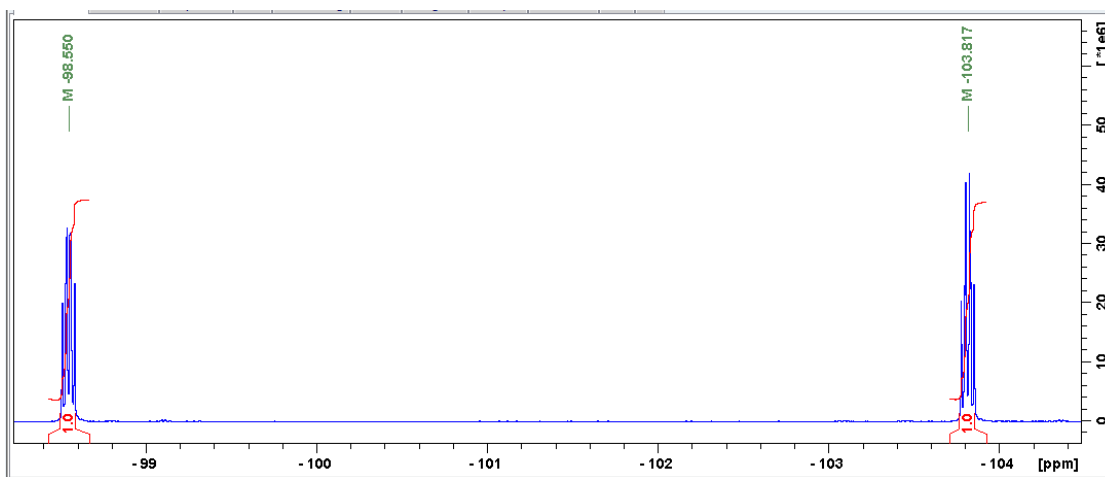
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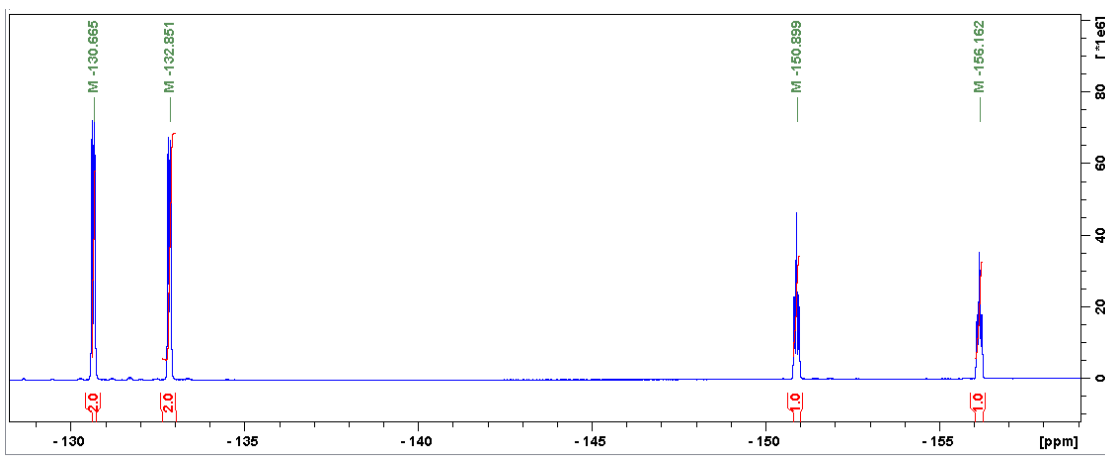
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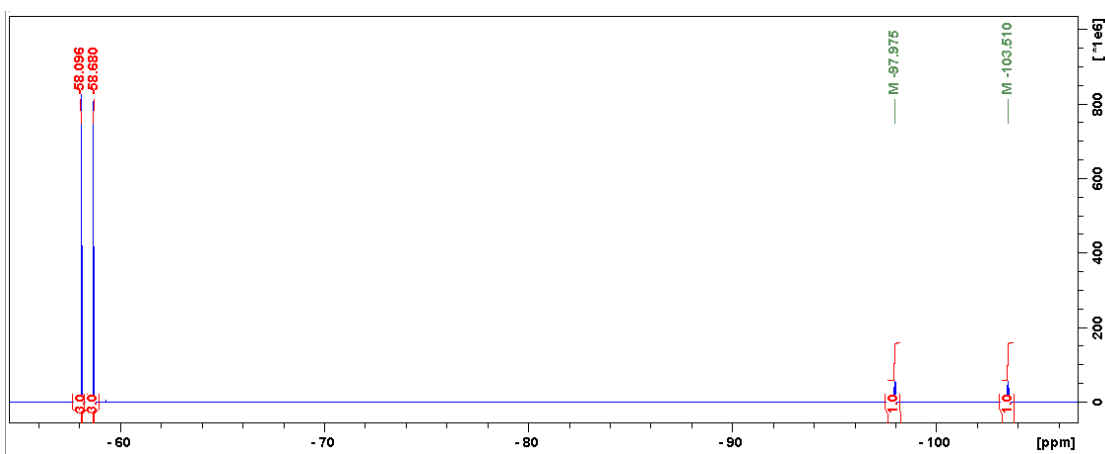
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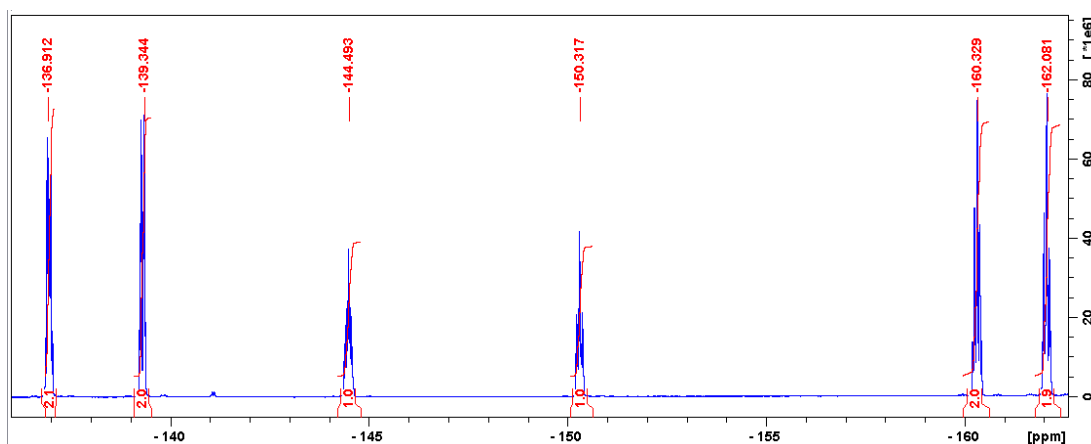
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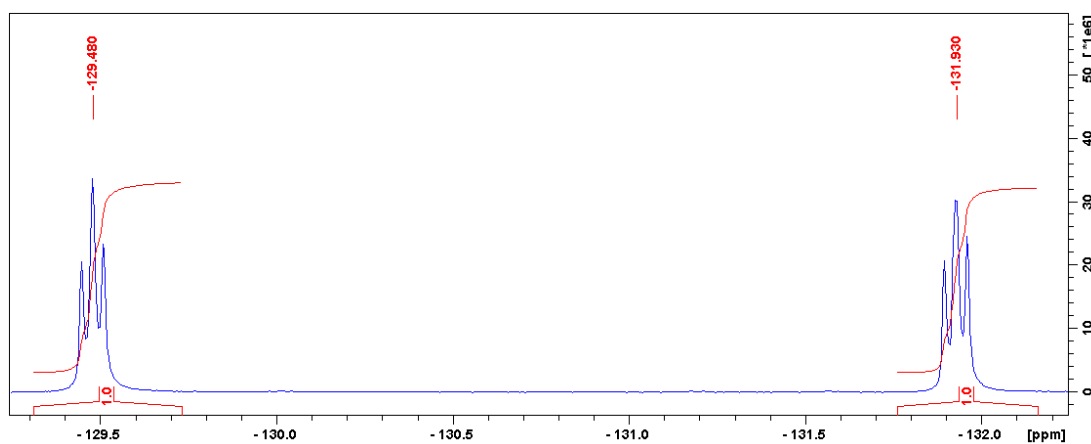
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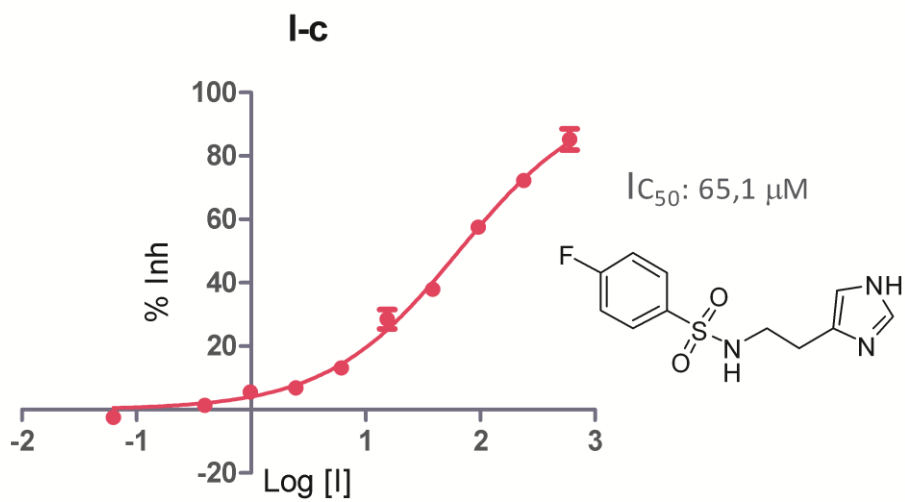
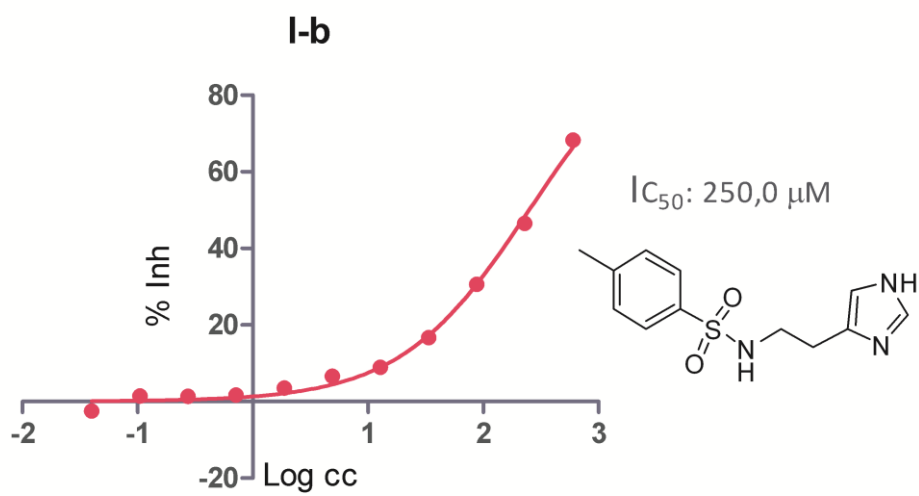
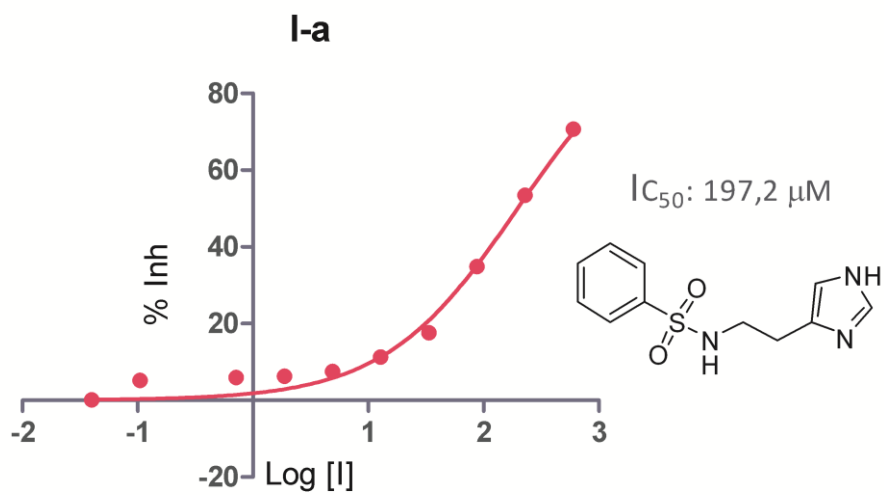


Compound Ili

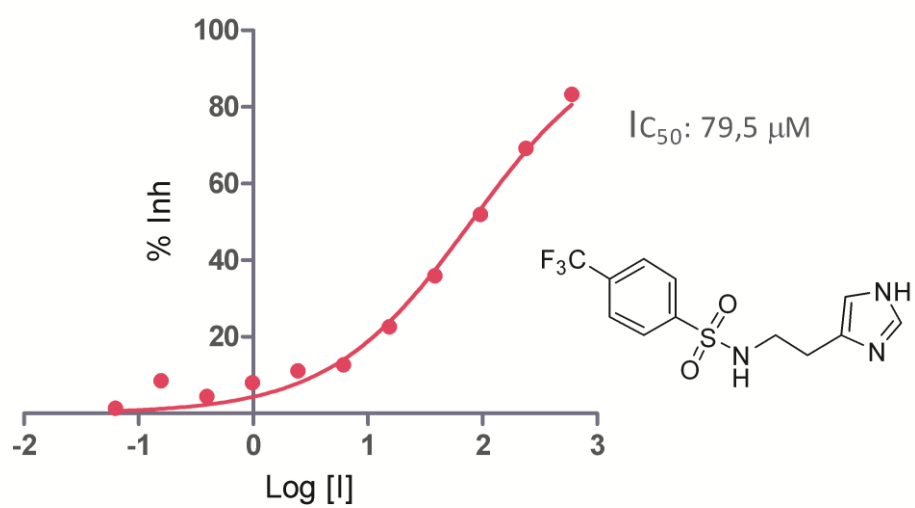


Compound IIj

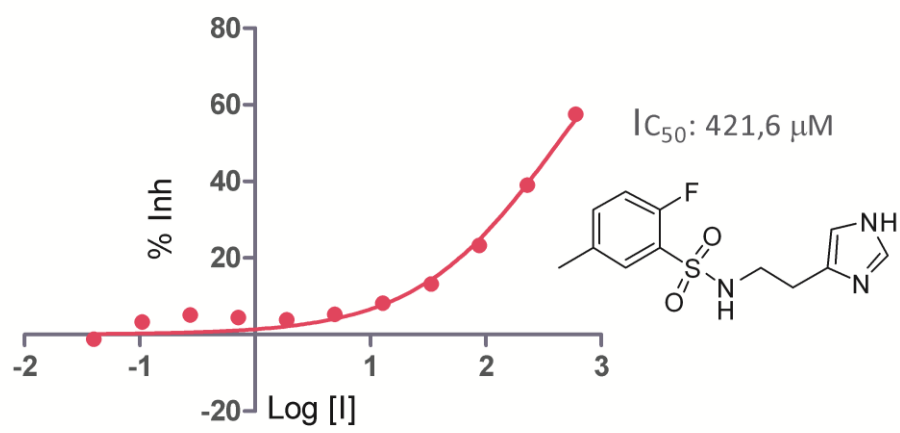


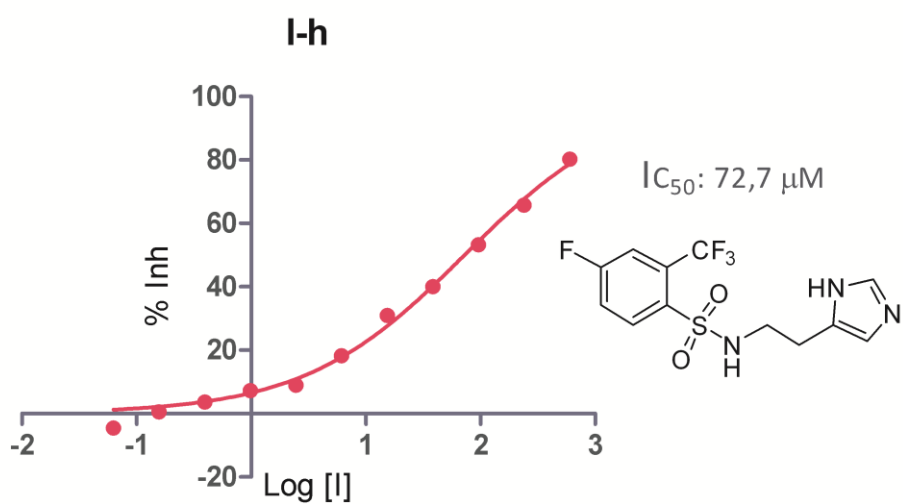
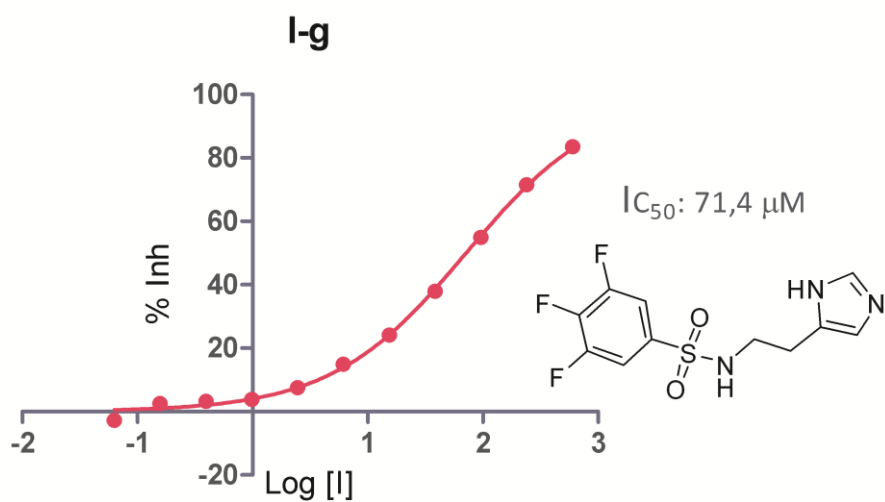
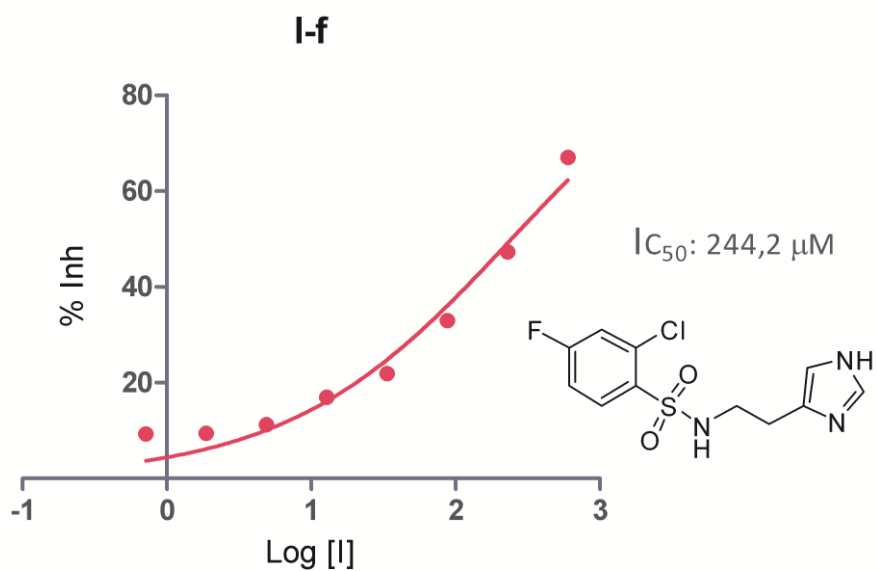


I-d

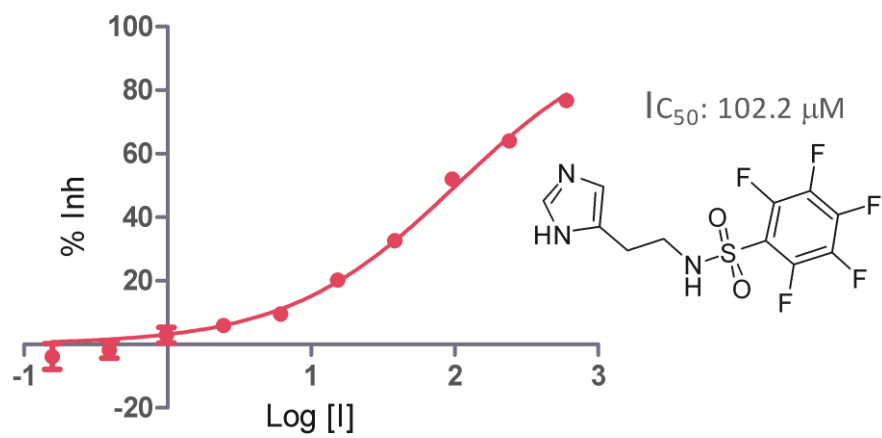


I-e





I-i



I-j

