

Supplementary file

L-Proline Catalyzed Synthesis of Phthalimide Derivatives and Evaluation of their Antioxidant, Anti-inflammatory and Lipxygenase Inhibition Activities

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A study was planned to synthesize the phthalimide derivatives as phthalimides have versatile biological activities. To synthesize the phthalimide derivatives, initially the reaction was optimized with various catalysts, and L-proline was found to be the best catalyst as it provided excellent yield. A series of phthalimide derivatives was synthesized by facile one-top reaction of phthalic acid with aryl amines under mild reaction conditions in the presence of L-proline as catalyst. Products were obtained in excellent yields and structurally characterized by ^1H , ^{13}C NMR and mass spectral data. Products 1-7 were evaluated for antioxidant, anti-inflammatory and lipoxygenase enzyme inhibition activities. Compounds **1** and **4** showed potent antioxidant activity under DPPH with IC_{50} values 27.3 and 25.0 μM when compared with the standard BHA ($\text{IC}_{50} = 44.2 \mu\text{M}$), respectively. Compounds **1** and **4** further showed strong lipoxygenase inhibition activity with IC_{50} values 21.34 and 20.45 μM when compared with standard Baicalein ($\text{IC}_{50} = 22.60 \mu\text{M}$), respectively. Compound 2 was found to be promising and about equal to the used standard Aspirin in the inhibition of Bovine serum albumin denaturation, while other compounds showed weak to moderate % inhibition.

Keywords: Phthalimides, Synthesis, Structural characterization, Anti-inflammatory, Antioxidant

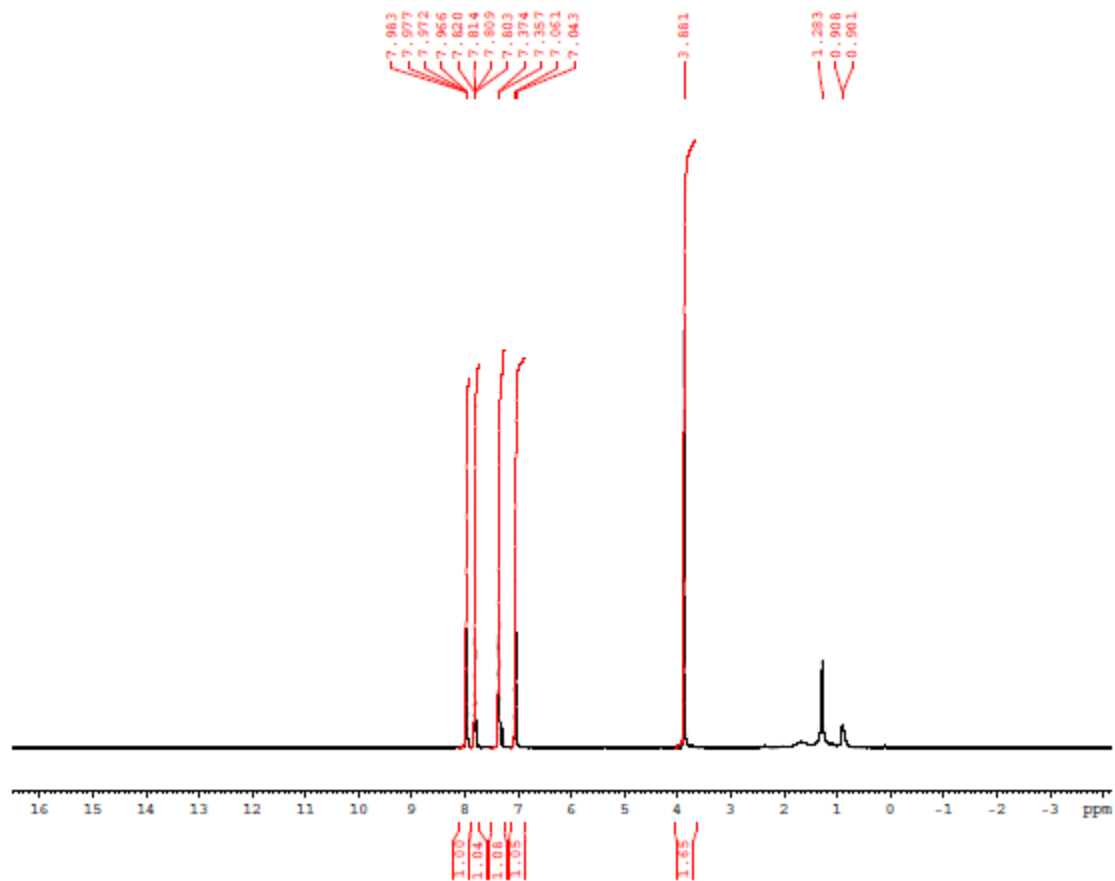


Figure S1. ¹H-NMR of compound **1**.

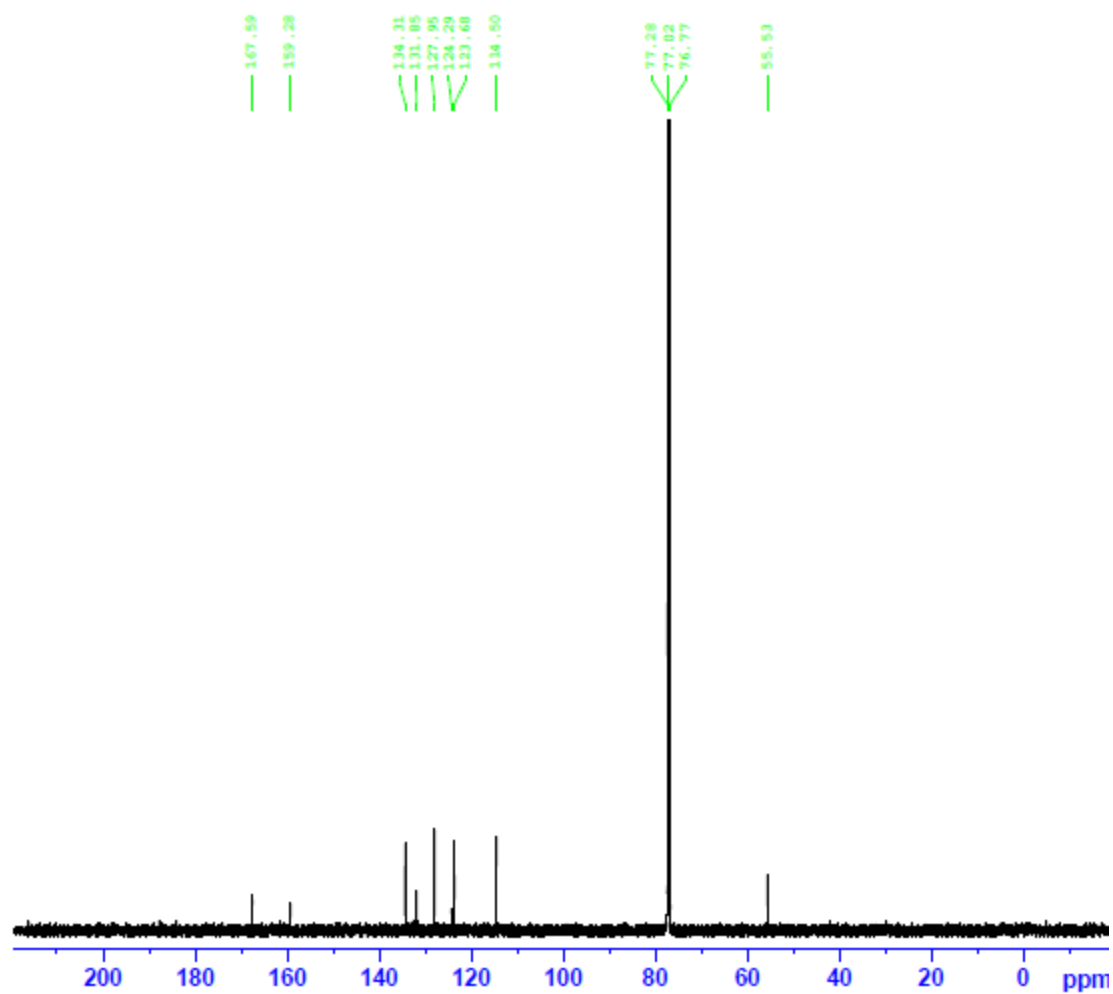


Figure S2. ¹³C-NMR of compound 1.

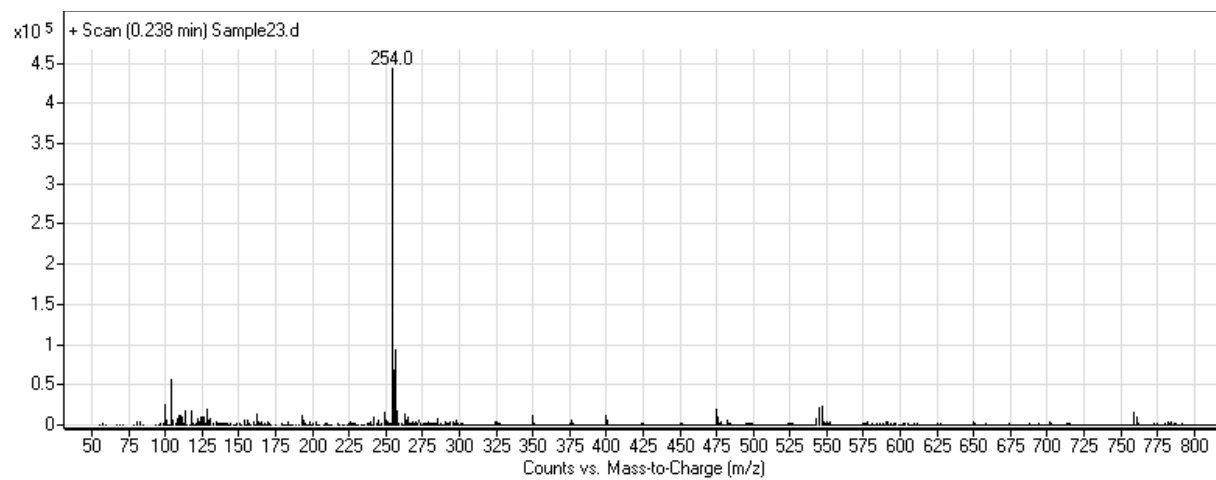


Figure S3. ESI-MS of compound **1**.

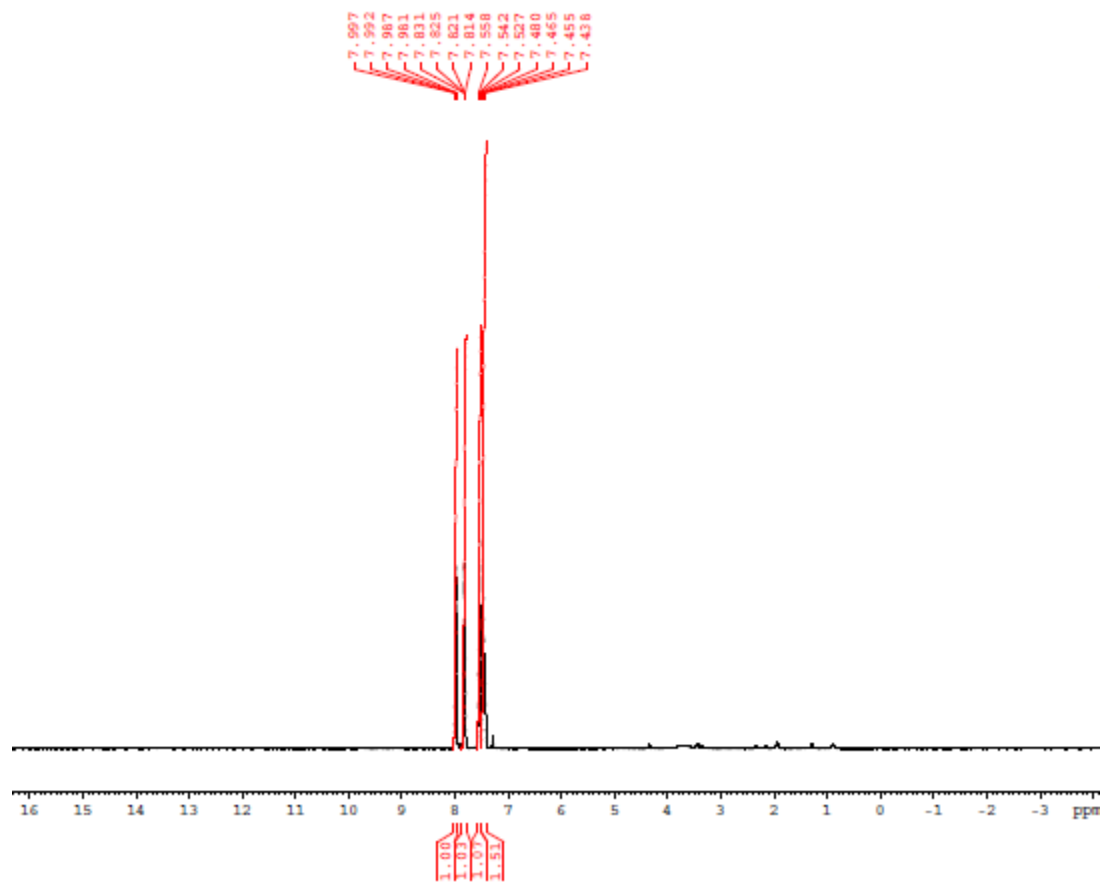


Figure S4. ^1H -NMR of compound **2**.

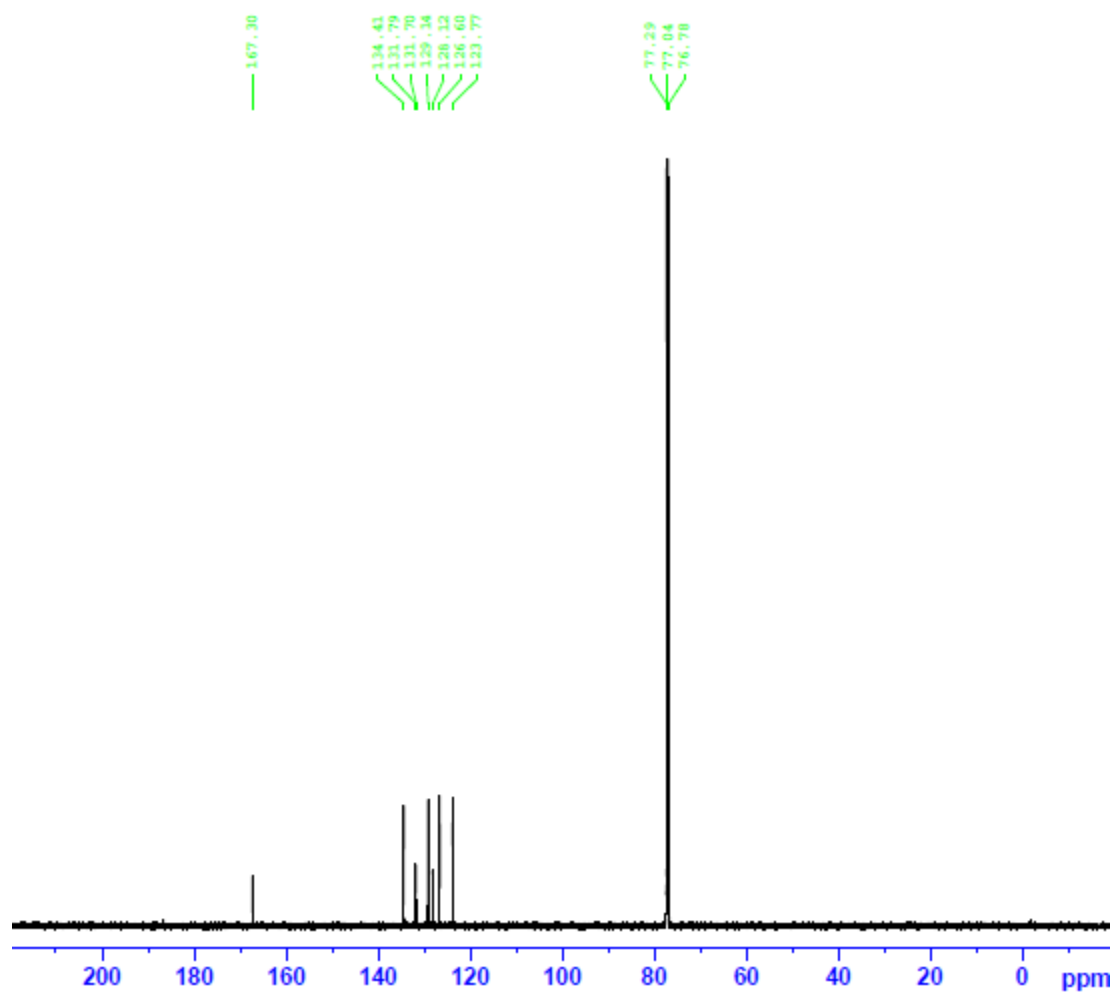


Figure S5. ¹³C-NMR of compound **2**.

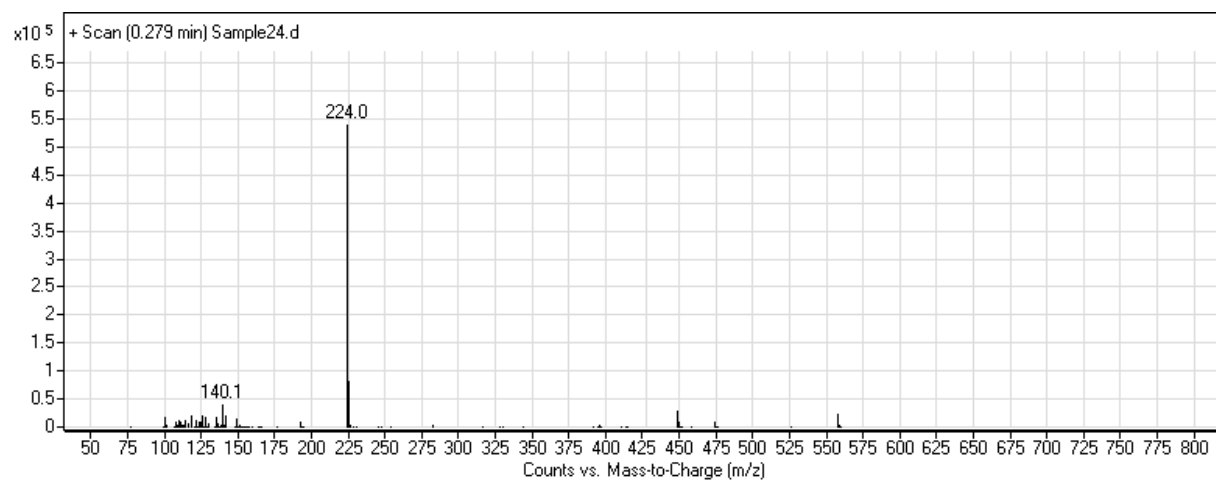


Figure S6. ESI-MS of compound **2**.

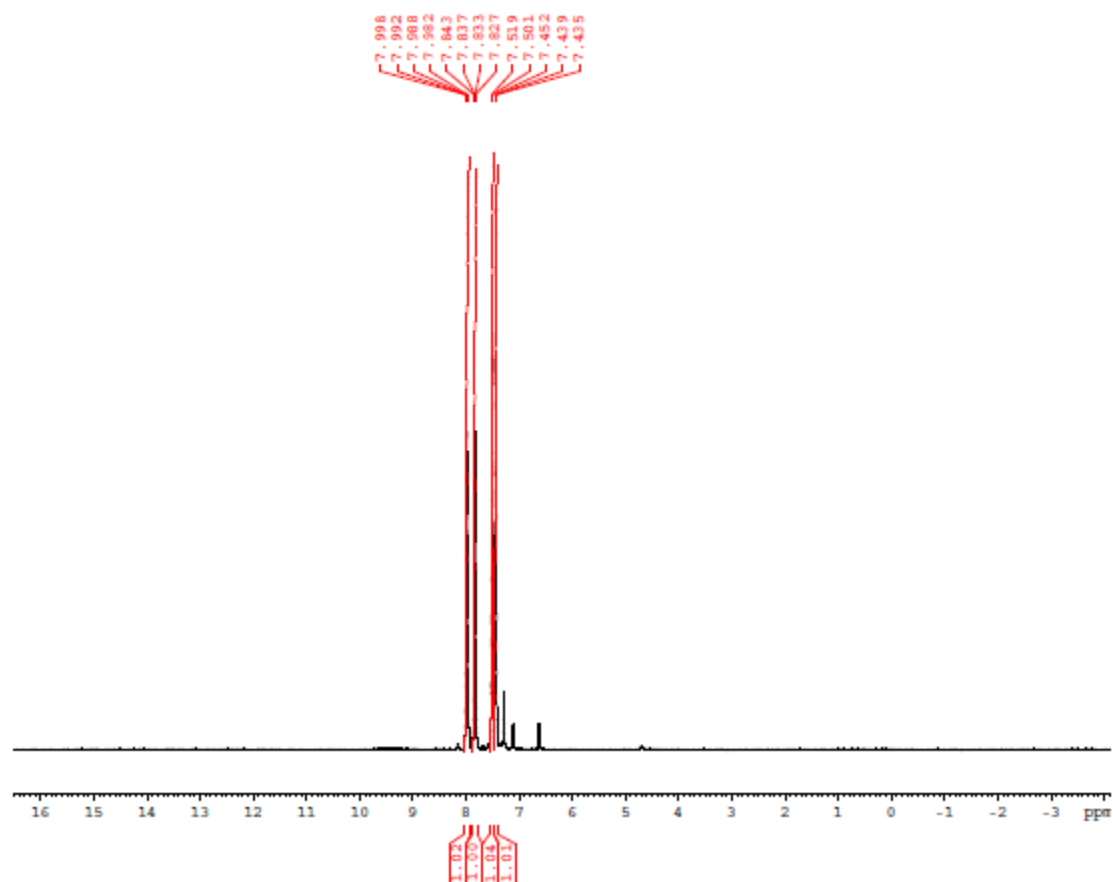


Figure S7. ^1H -NMR of compound **3**.

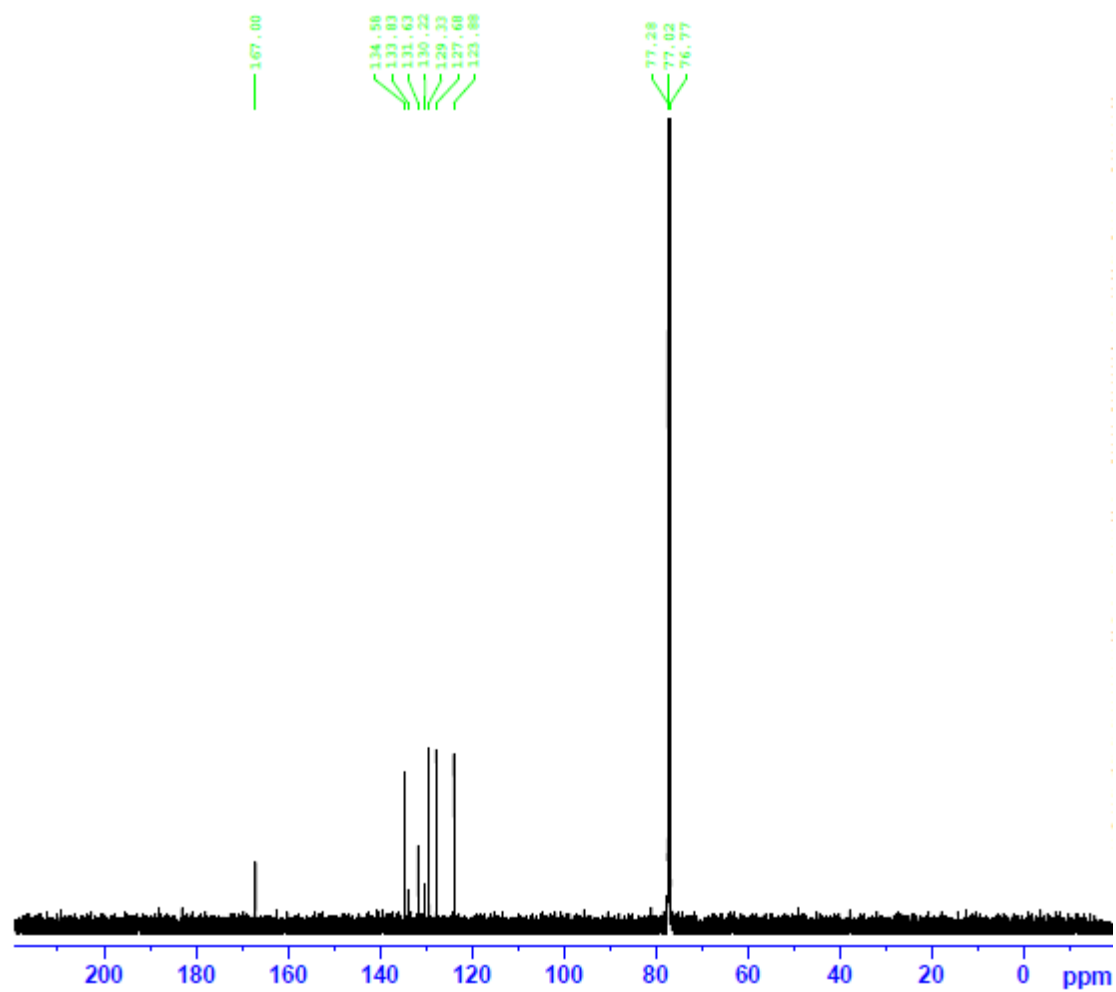


Figure S8. ¹³C-NMR of compound **3**.

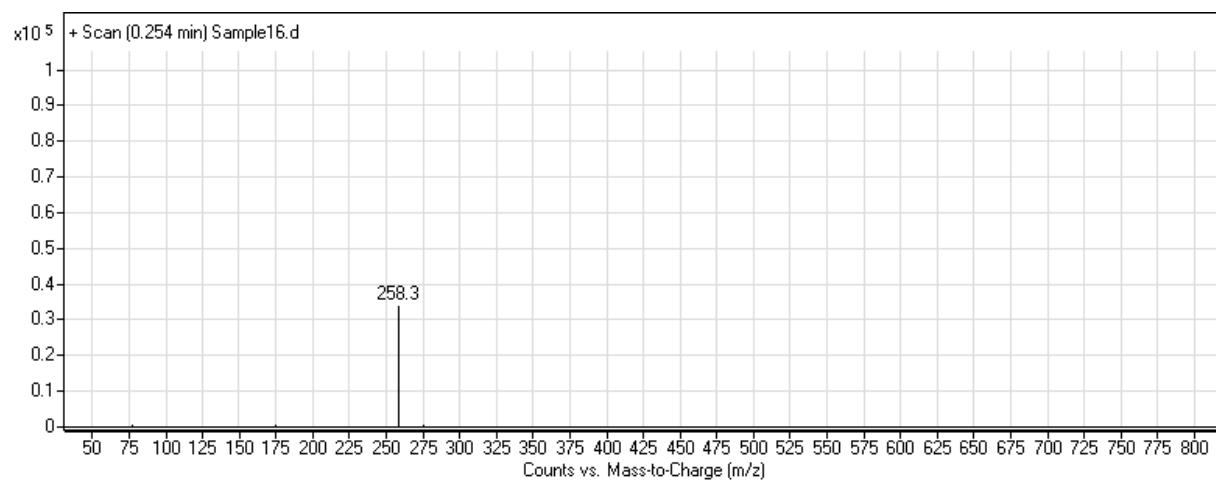


Figure S9. ESI-MS of compound **3**.

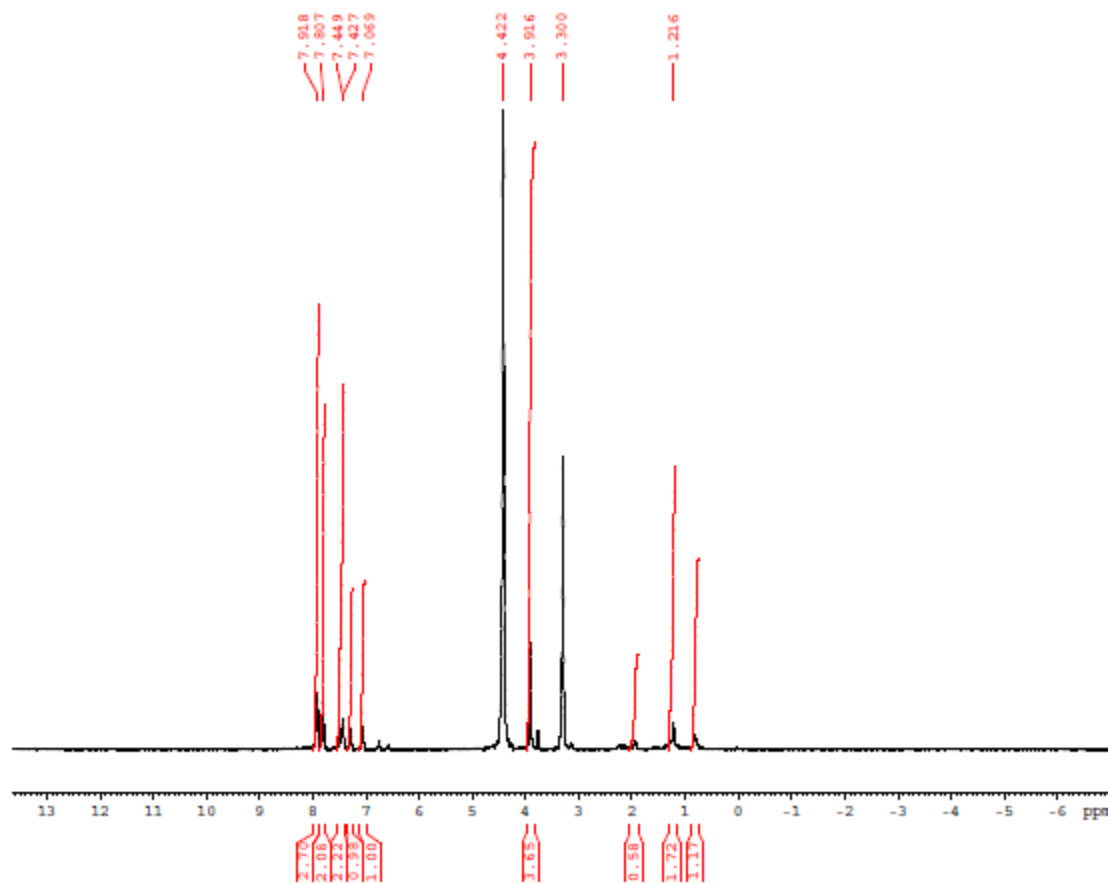


Figure S10. ¹H-NMR of compound 4.

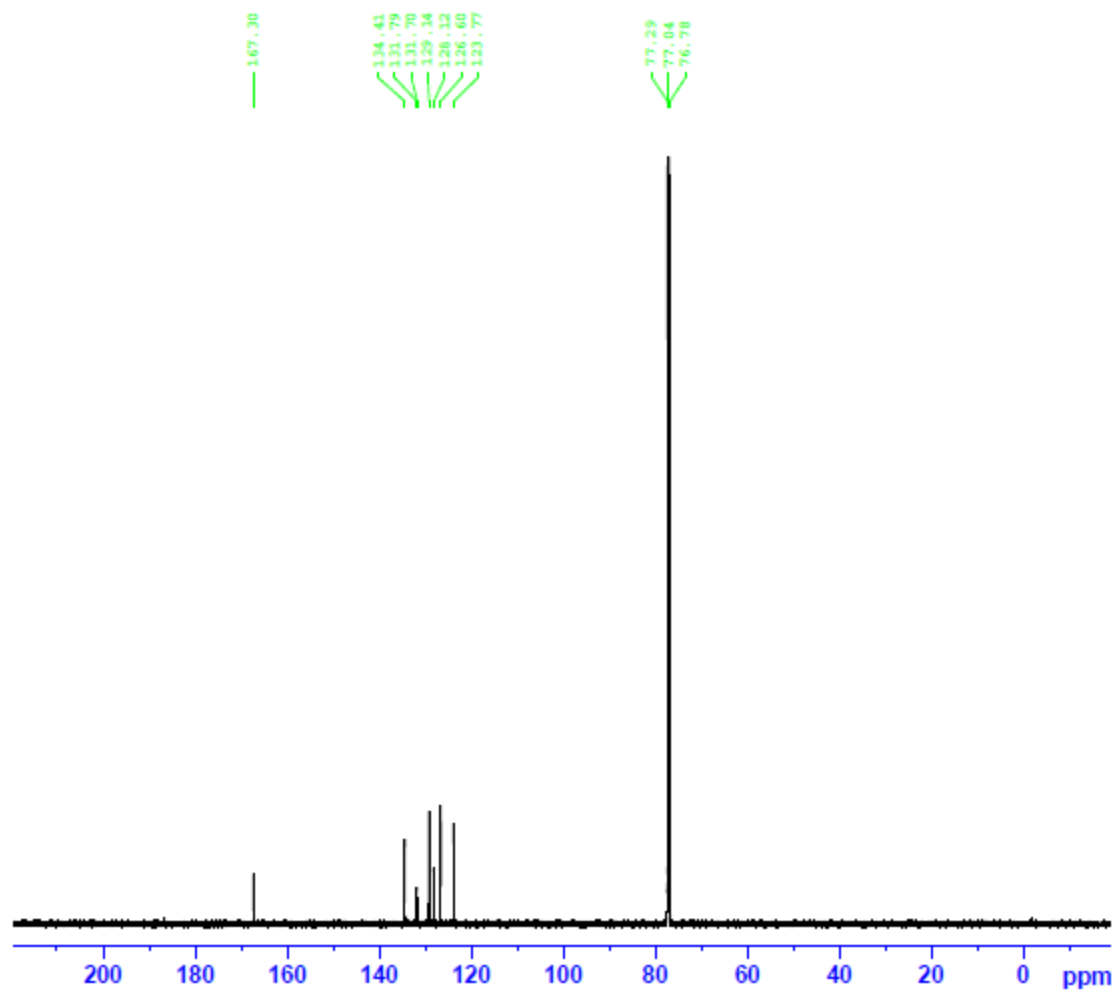


Figure S11. ¹³C-NMR of compound 4.

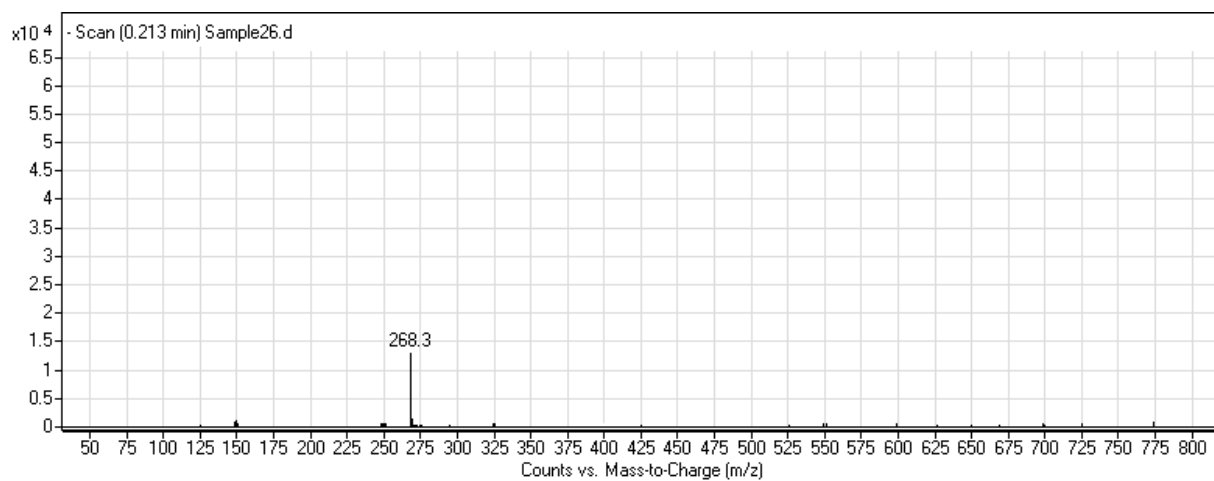


Figure S12. ESI-MS of compound **4**.

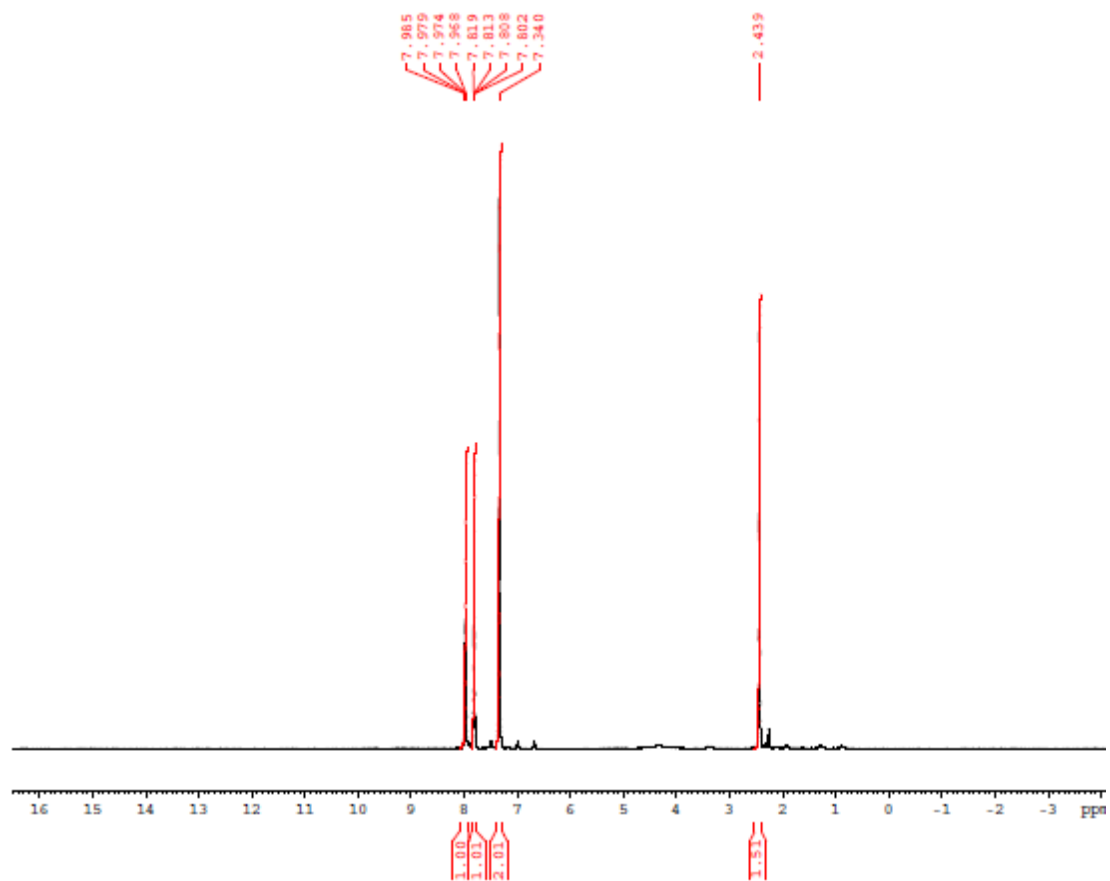


Figure S13. ^1H -NMR of compound 5.

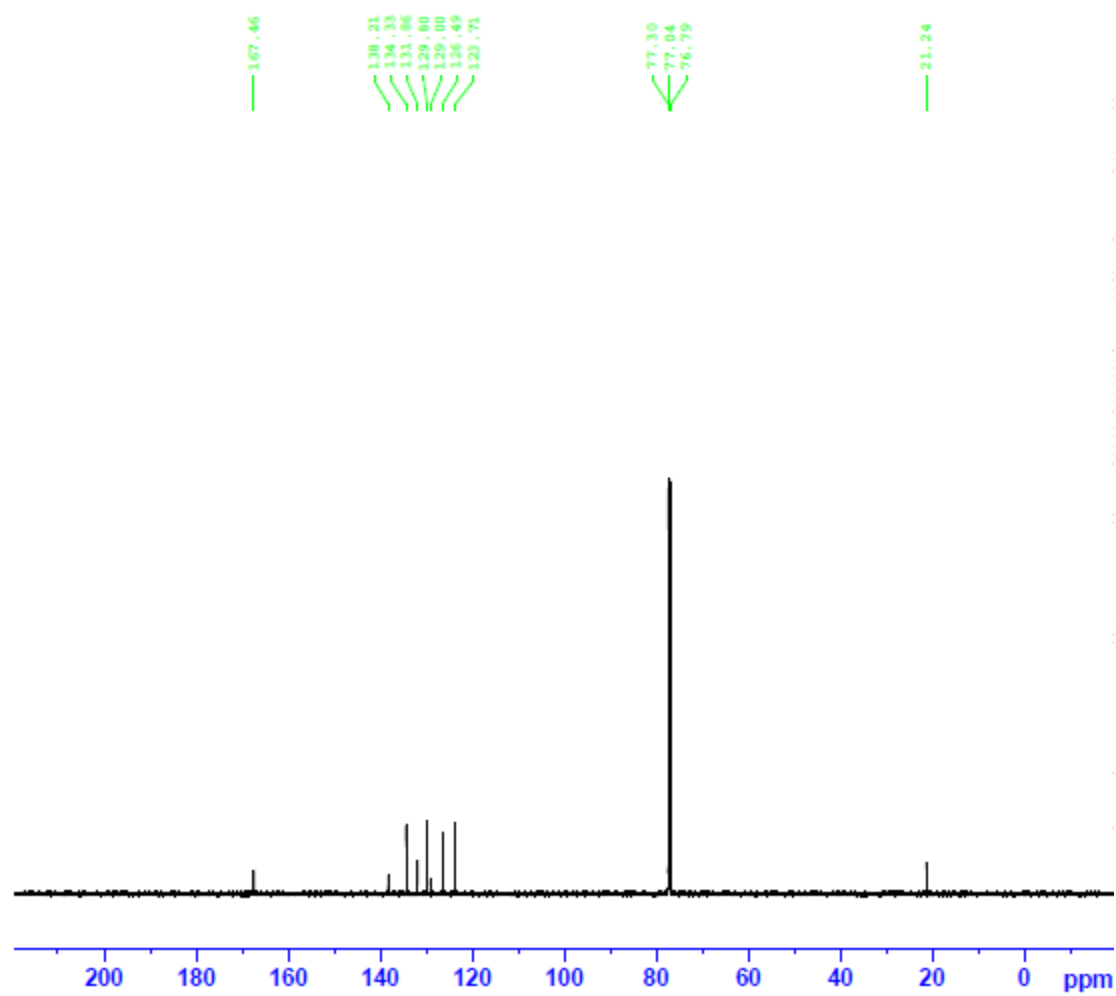


Figure S14. ¹³C-NMR of compound **5**.

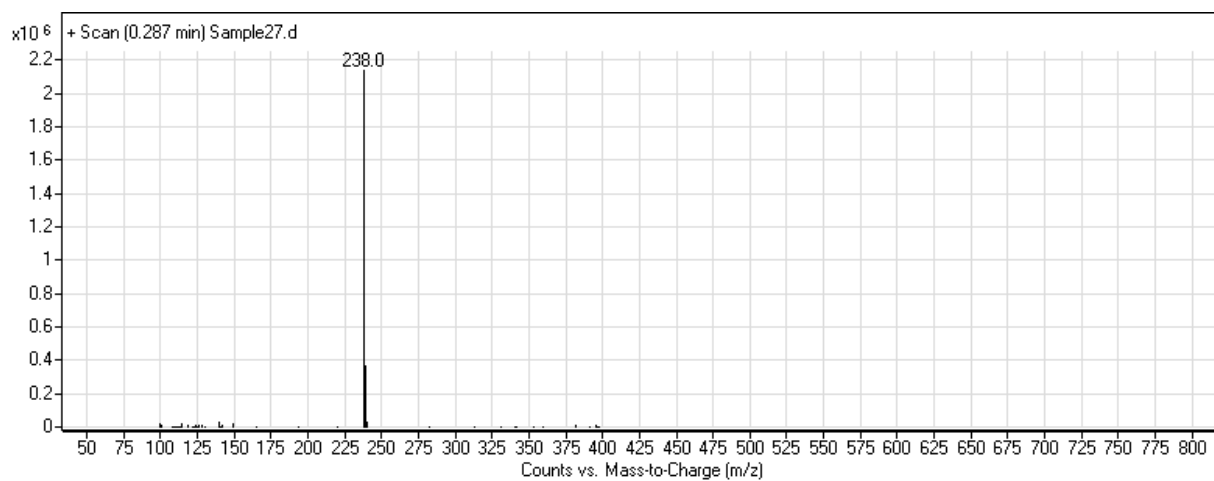


Figure S15. ESI-MS of compound **5** & **6**.

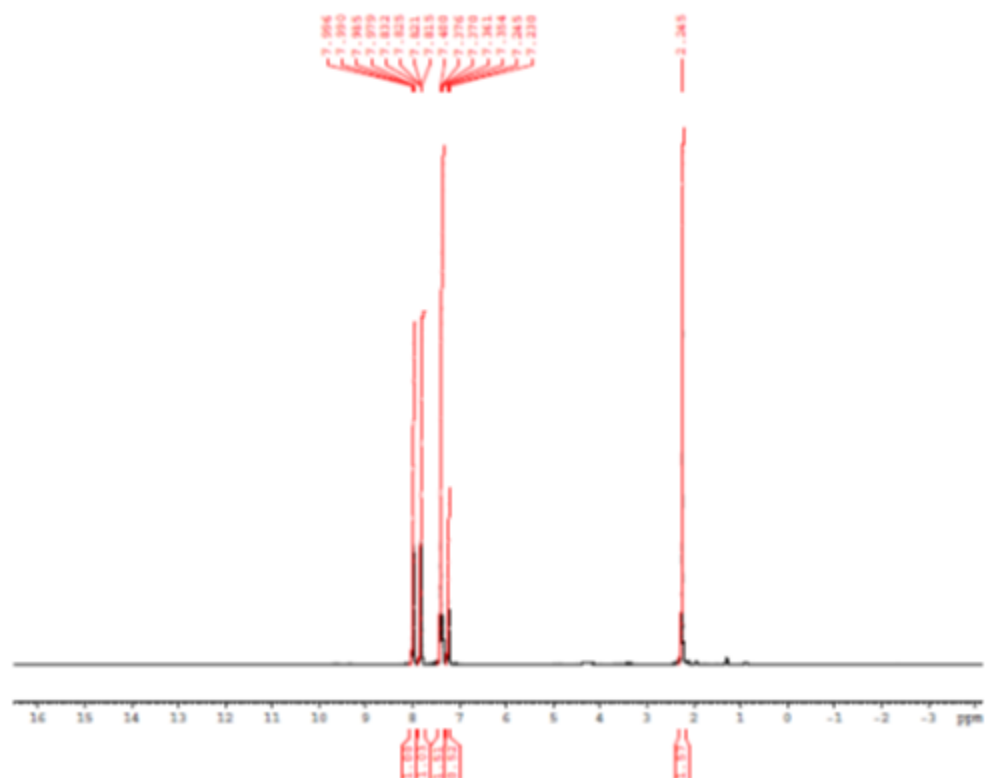


Figure S16. ^1H -NMR spectra of compound 6.

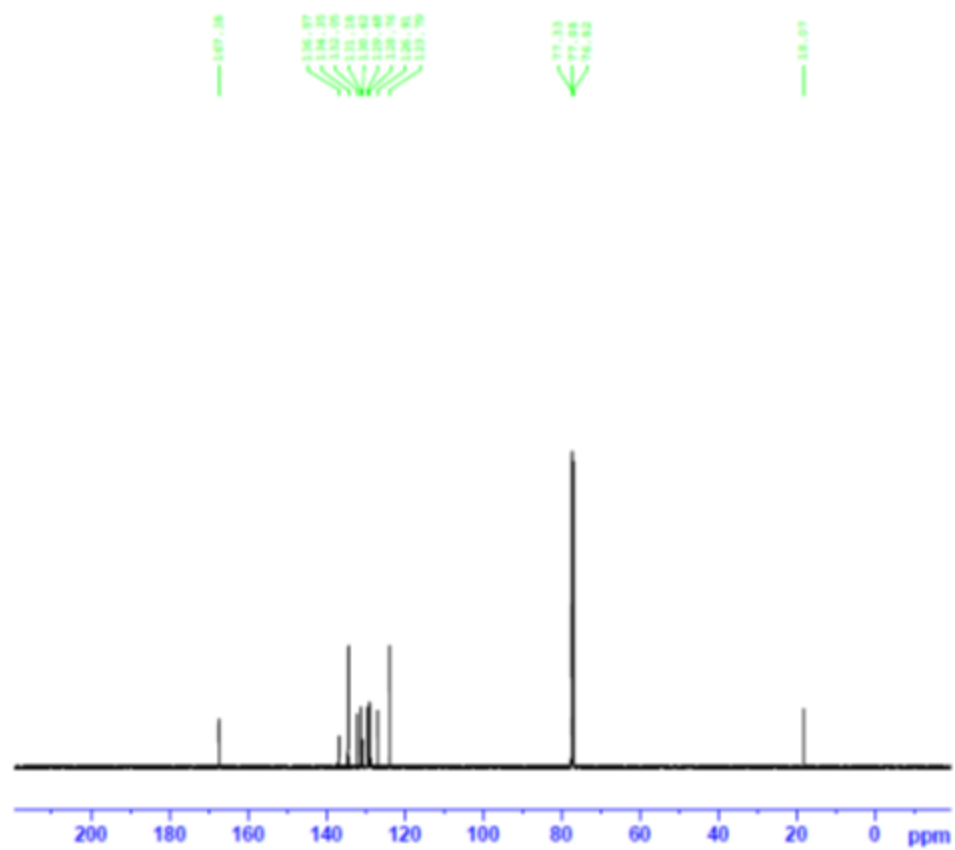


Figure S17. ^{13}C -NMR spectra of compound **6**.

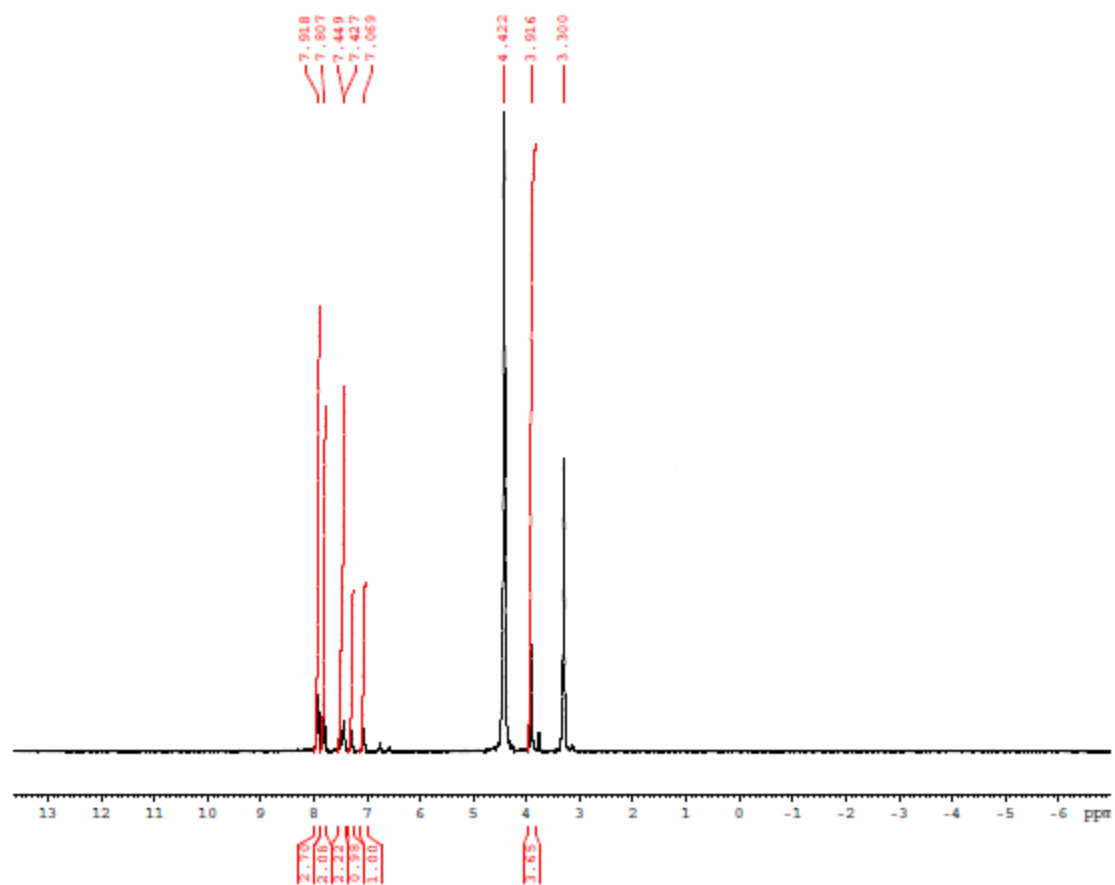


Figure S18. ¹H-NMR of compound 7.

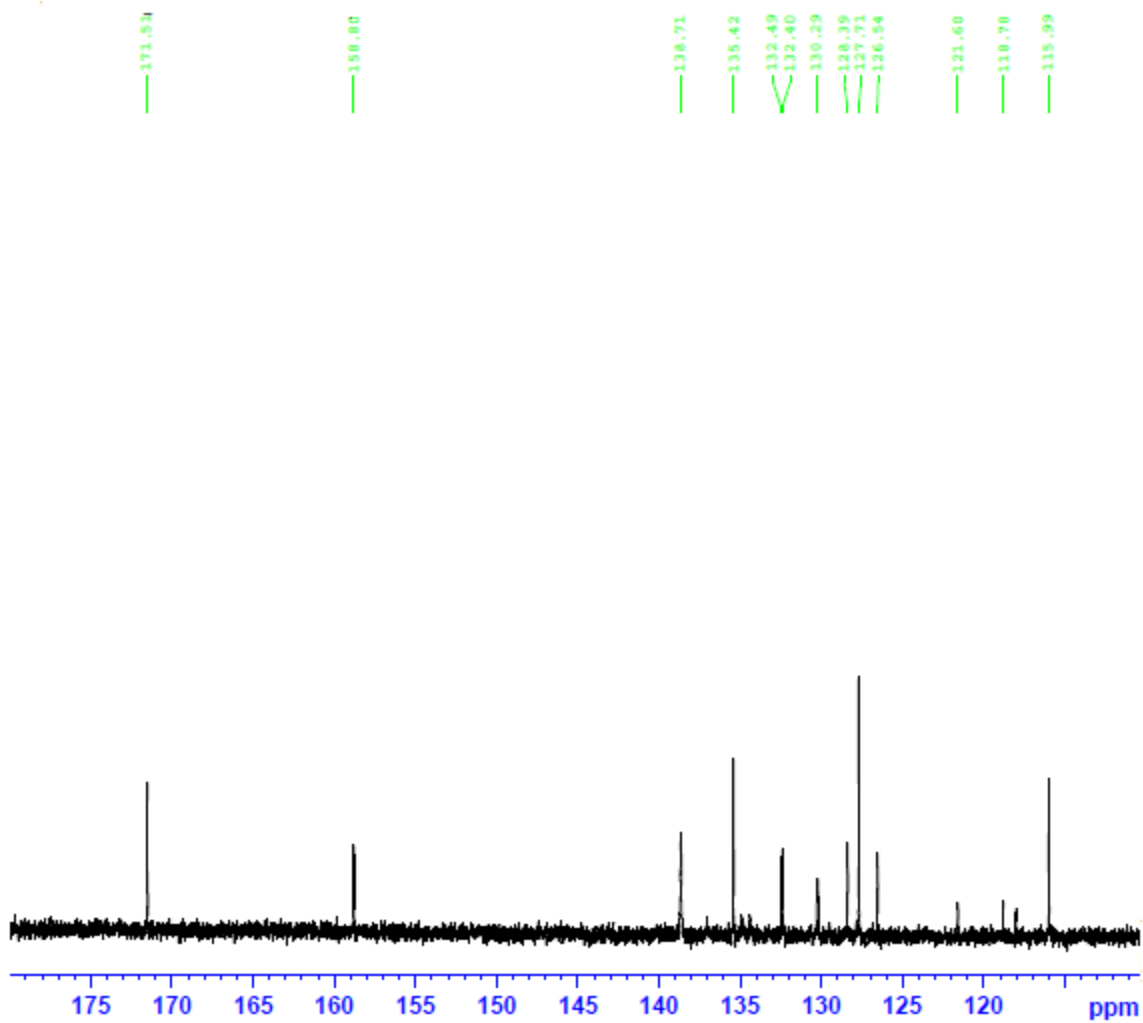


Figure S19. ^{13}C -NMR of compound 7.

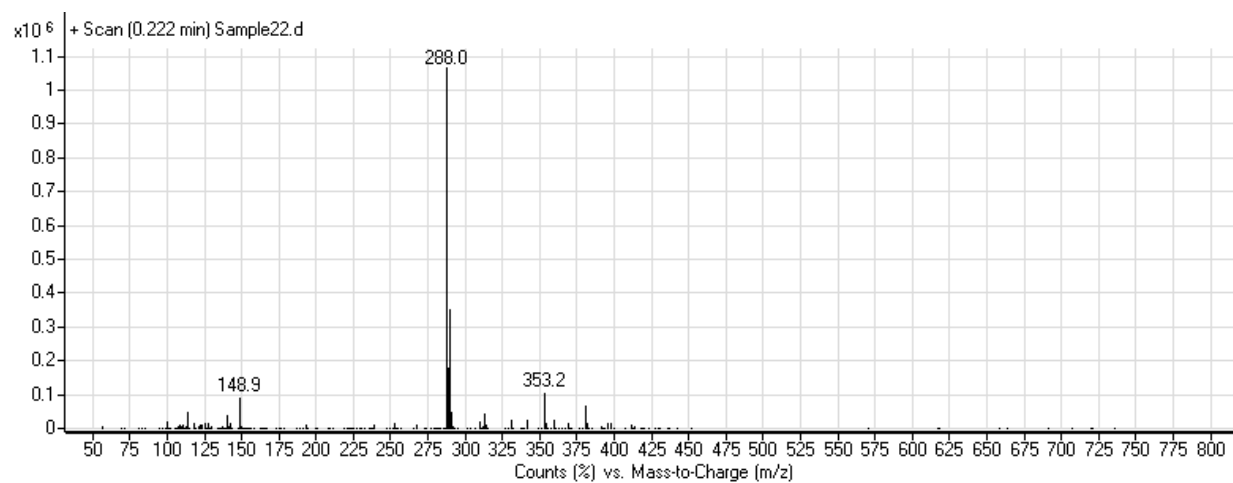


Figure S20. ESI-MS of compound 7.