

Supplementary Information

A PRACTICAL SYNTHESIS OF 2-SUBSTITUTED-5-BROMO-INDOLES

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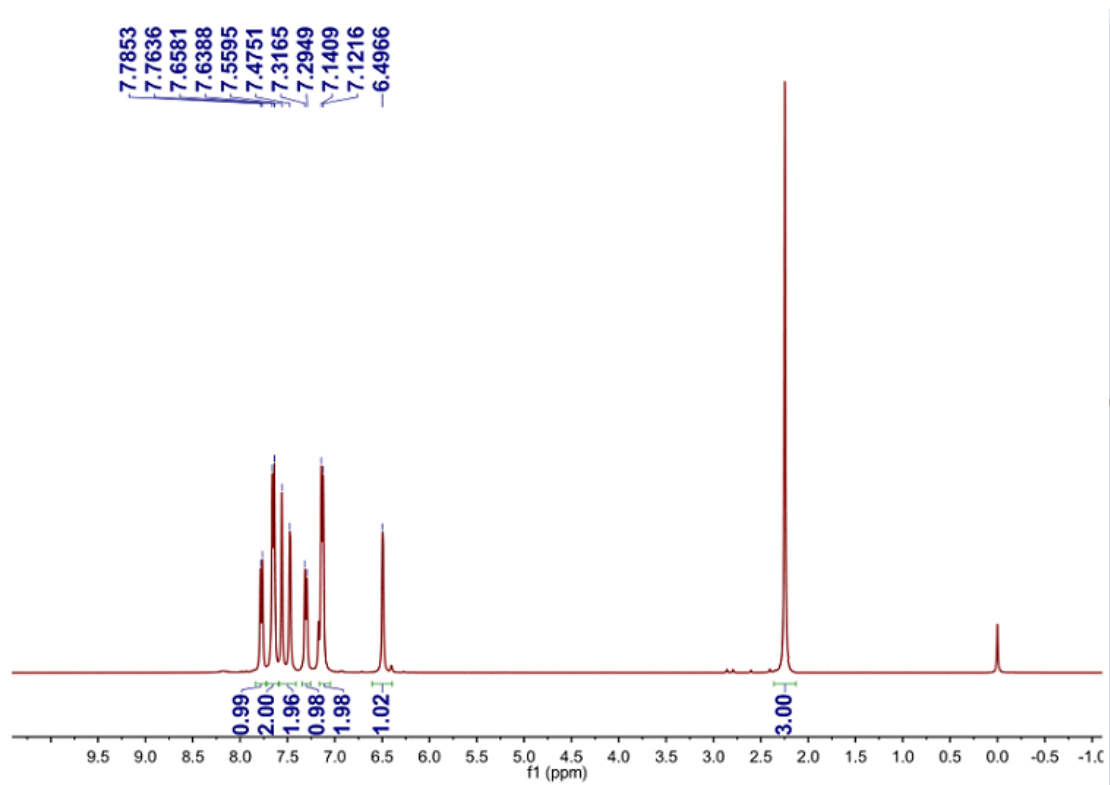
b Department of Pharmacy, China-Japan Union Hospital of Jilin University, Changchun, China

5-Bromo-1-tosyl-1H-indole (3b). To a suspension of sodium hydride (60% in mineral oil, 0.30 g, 7.7 mmol) in DMF (5.0 mL), a solution of compound **2** (1.00 g, 5.1 mmol) in DMF (5.0 mL) was added and the mixture was stirred at 0 °C for 1 h. To the mixture was added a solution of 4-methylbenzenesulfonyl chloride (1.17 g, 6.1 mmol) in DMF (5.0 mL) and the mixture was stirred at room temperature for 4 h. The mixture was poured into water (100 mL) and the resulting precipitate was collected by suction filtration, washed with water (200 mL) and dried. The crude material was purified by flash column chromatography eluting with 5-10% EtOAc/petroleum ether to provide **3b** as a white solid (1.63 g, 91%). mp 132-134 °C (lit.¹ 134 °C); ¹H NMR (CDCl₃, 400 MHz), δ 7.77 (d, *J* = 8.7 Hz, 1H), 7.65 (d, *J* = 7.7 Hz, 2H), 7.44-7.60 (m, 2H), 7.31 (d, *J* = 8.6 Hz, 1H), 7.13 (d, *J* = 7.7 Hz, 2H), 6.50 (s, 1H), 2.25 (s, 3H); ¹³C NMR (CDCl₃, 100 MHz), δ 145.3, 135.0, 133.5, 132.5, 130.0, 127.6, 127.5, 126.8, 124.1, 116.8, 115.0, 108.3, 21.6.

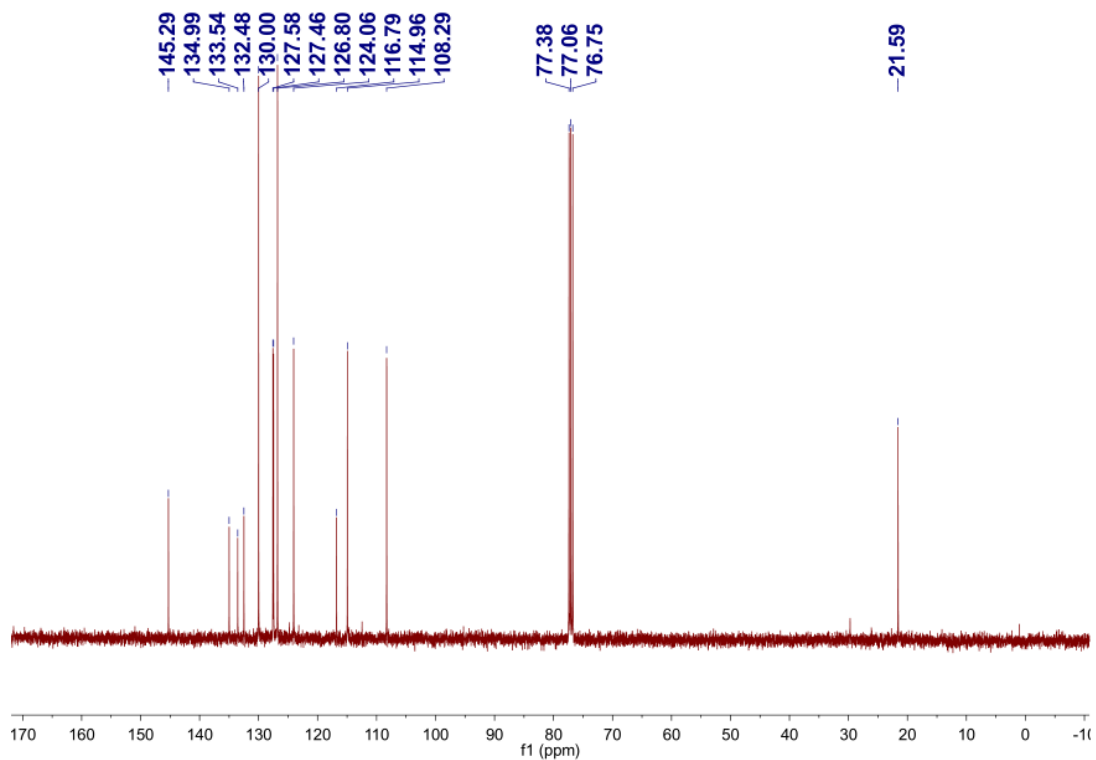
REFERENCES

1. D. Schäfer, J. Ermert, J. C. Meleán, and B. Neumaier, *Eur. J. Org. Chem.*, 2016, **27**, 4621.

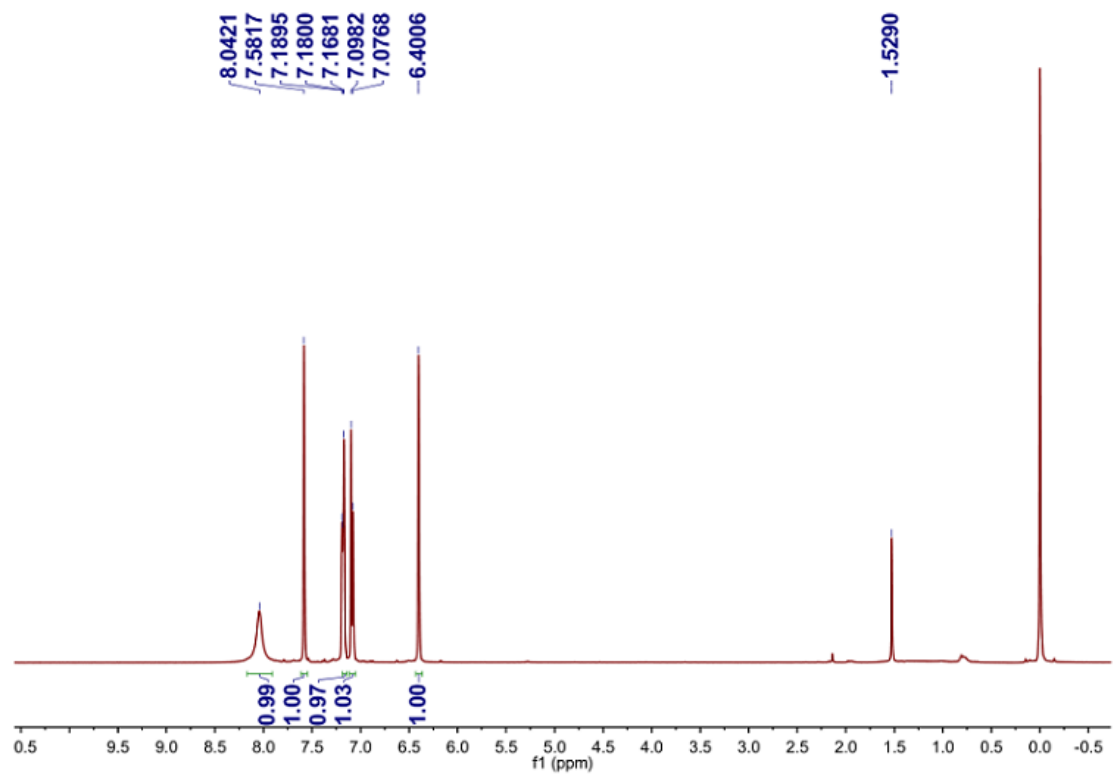
^1H NMR of compound **3b**



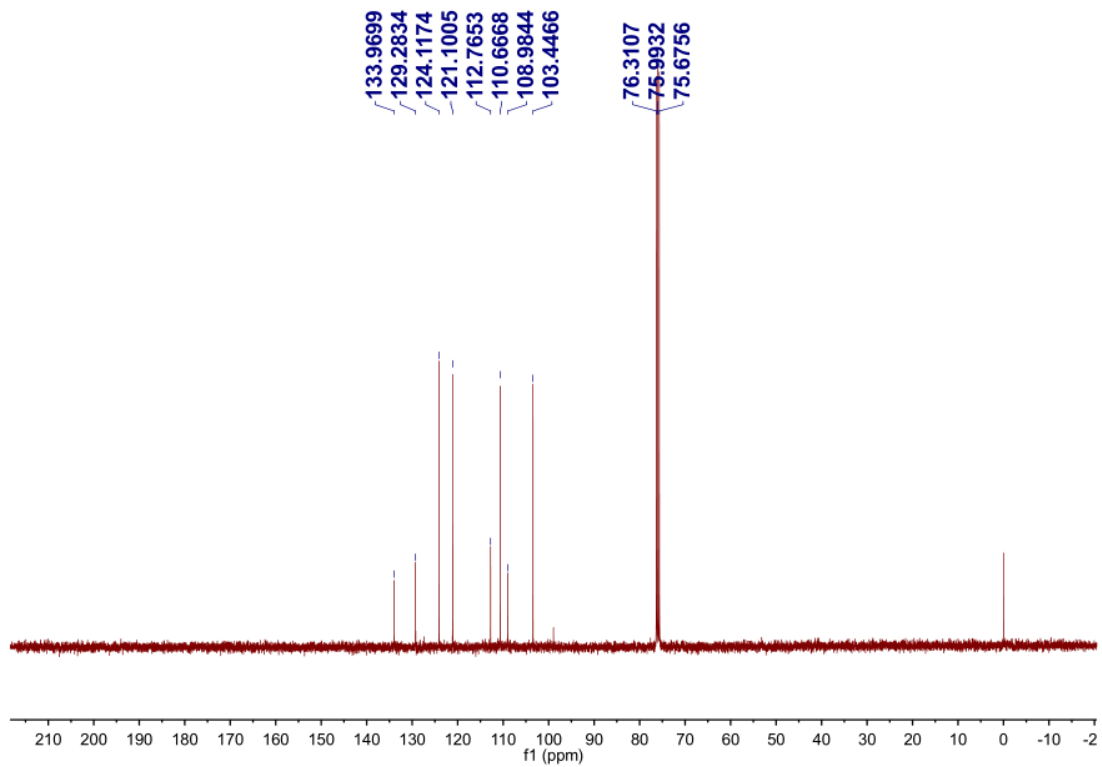
^{13}C NMR of compound **3b**



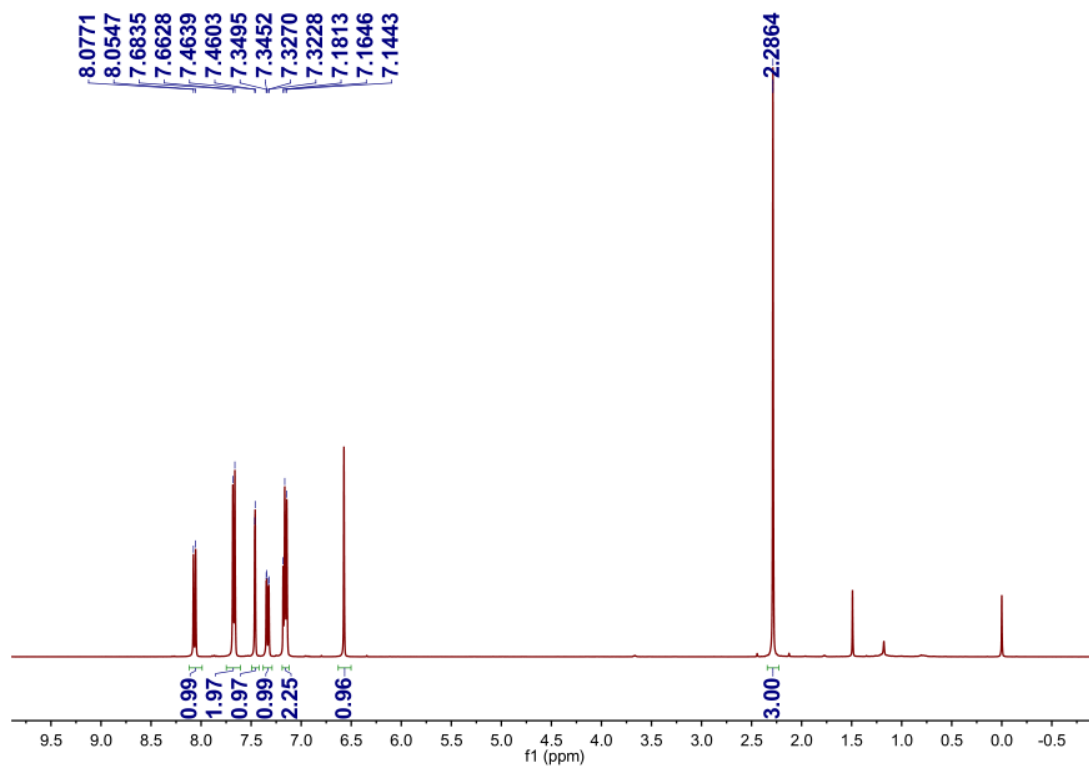
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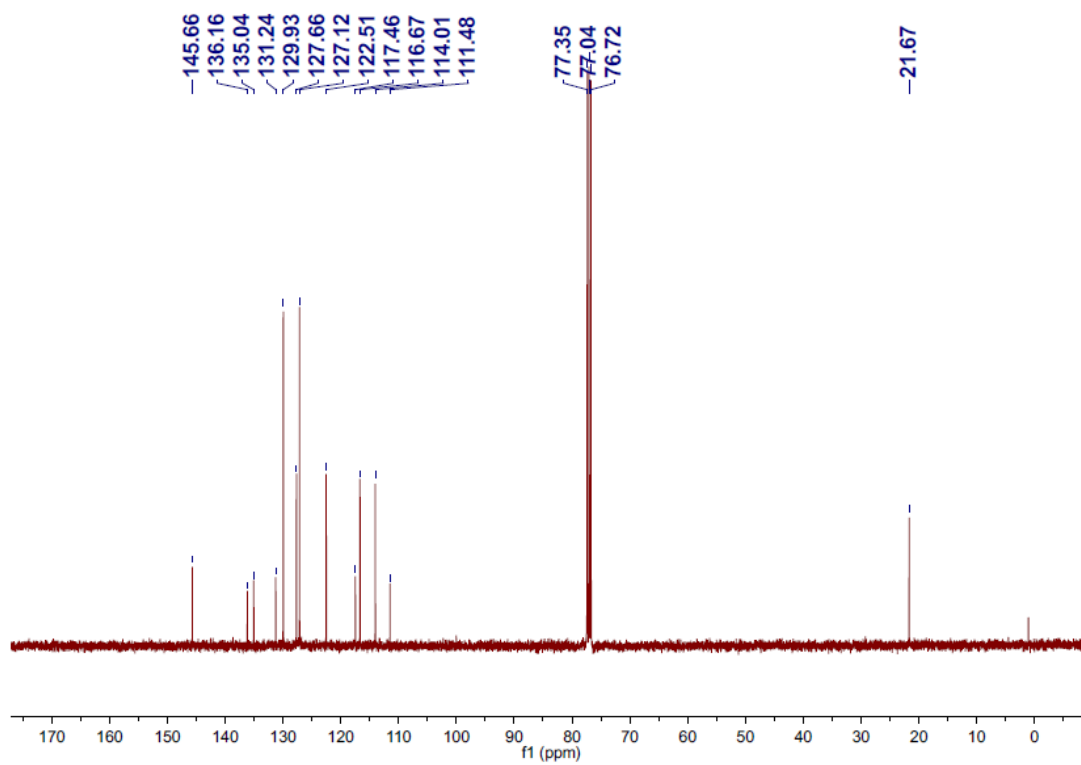
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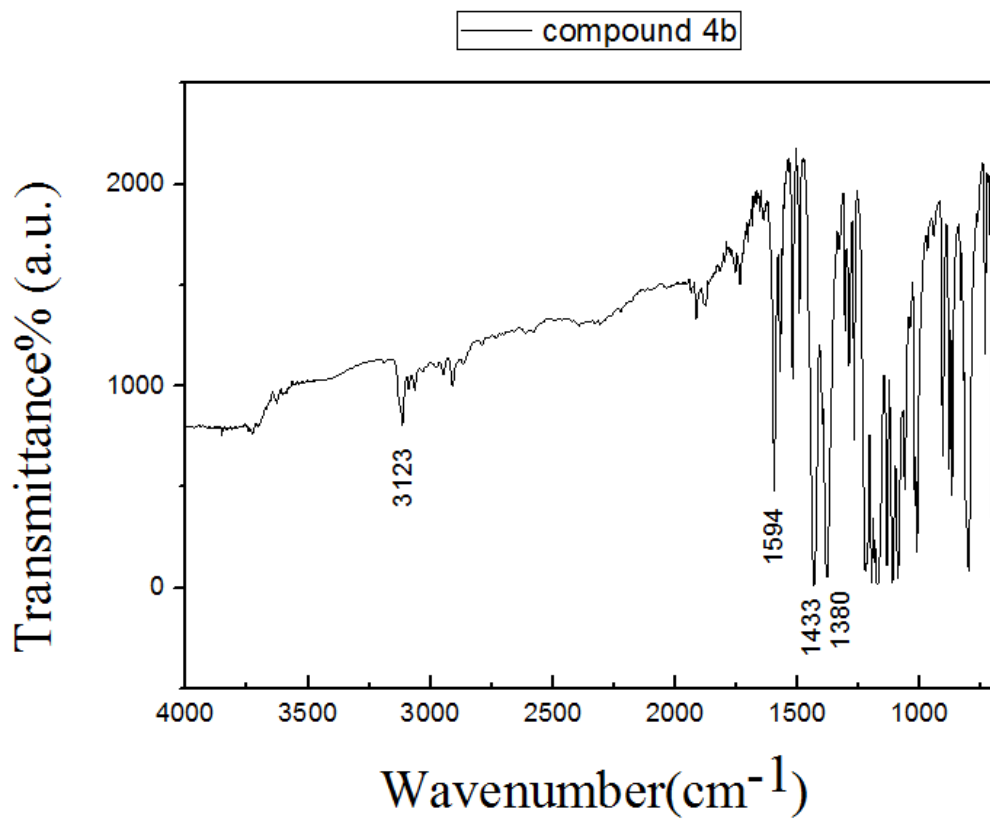
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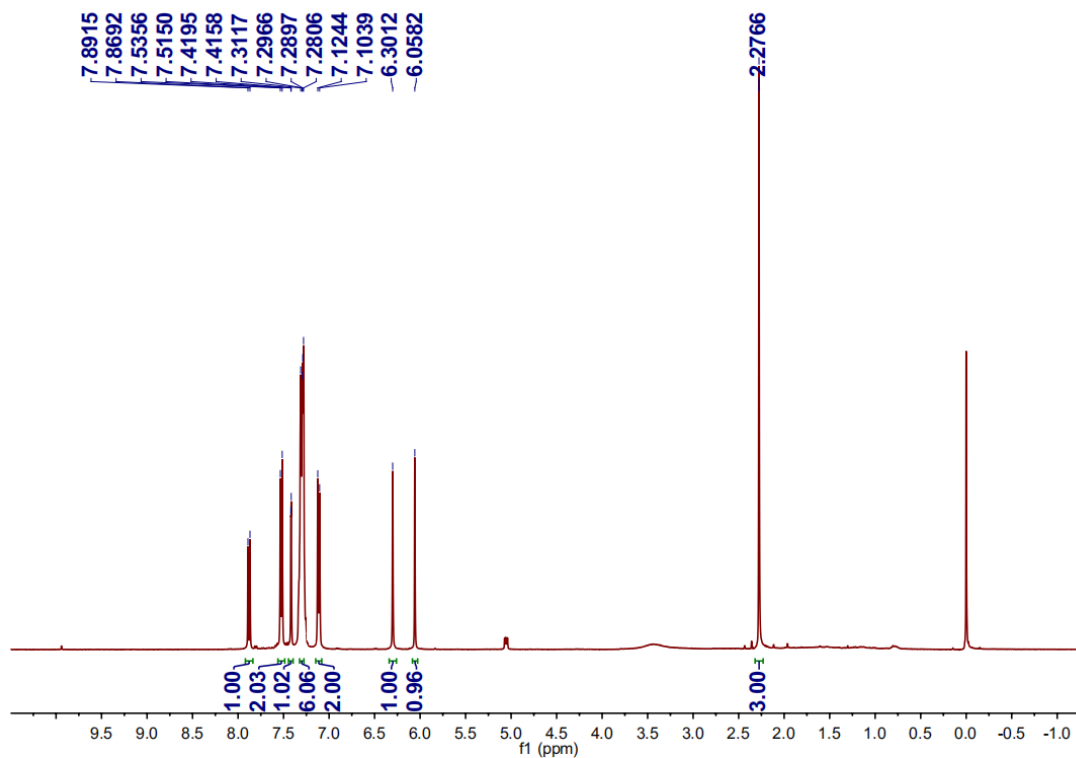
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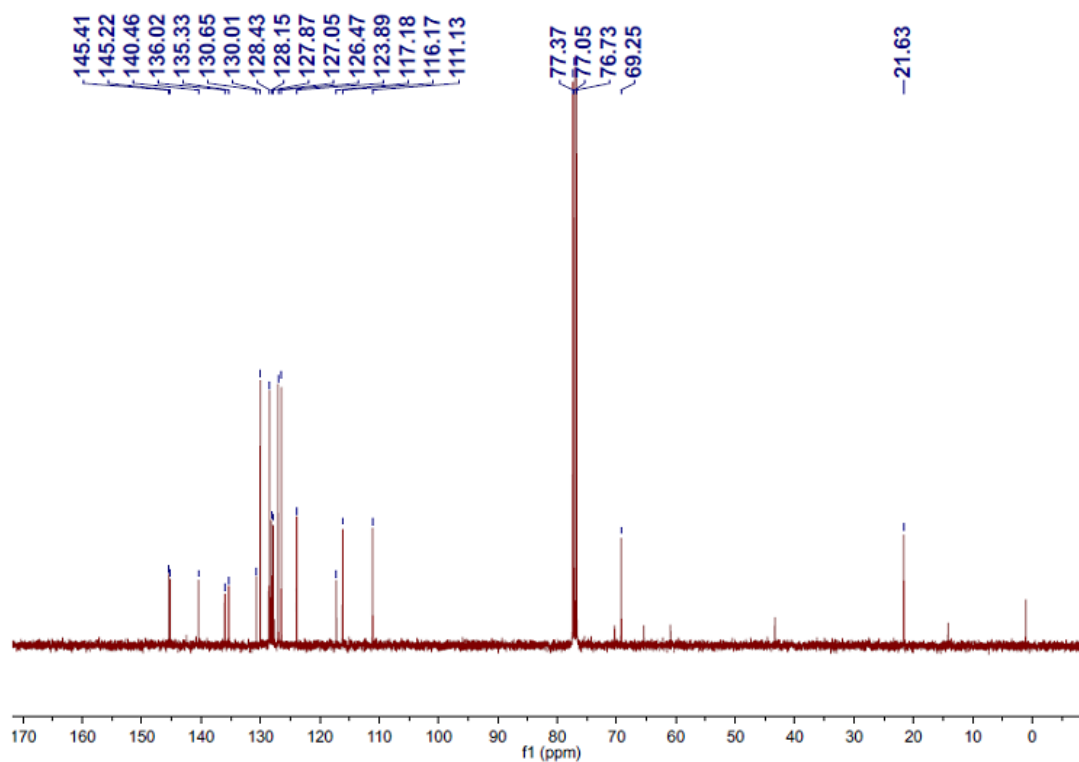
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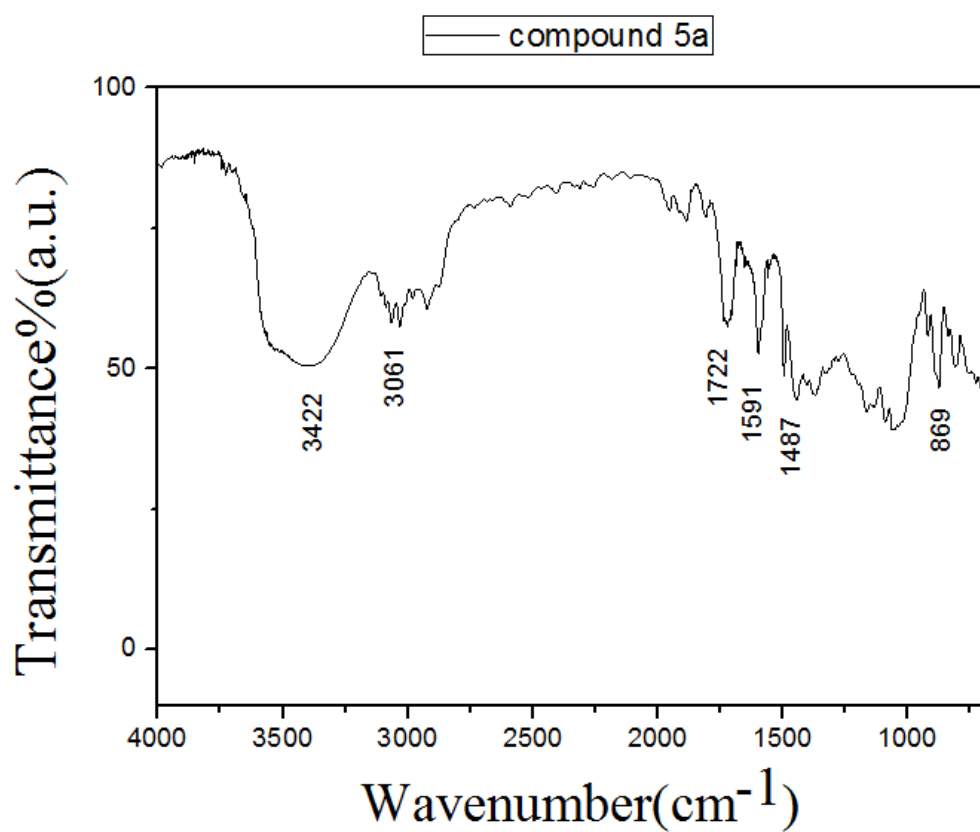
¹H NMR of compound **5a**



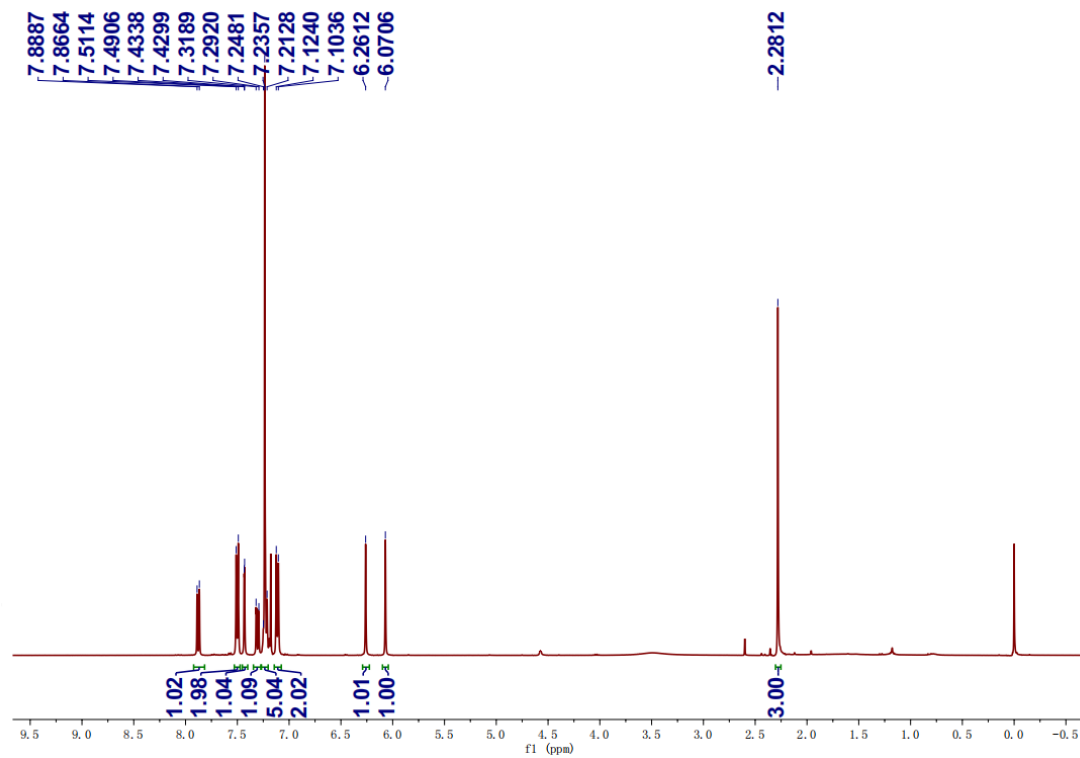
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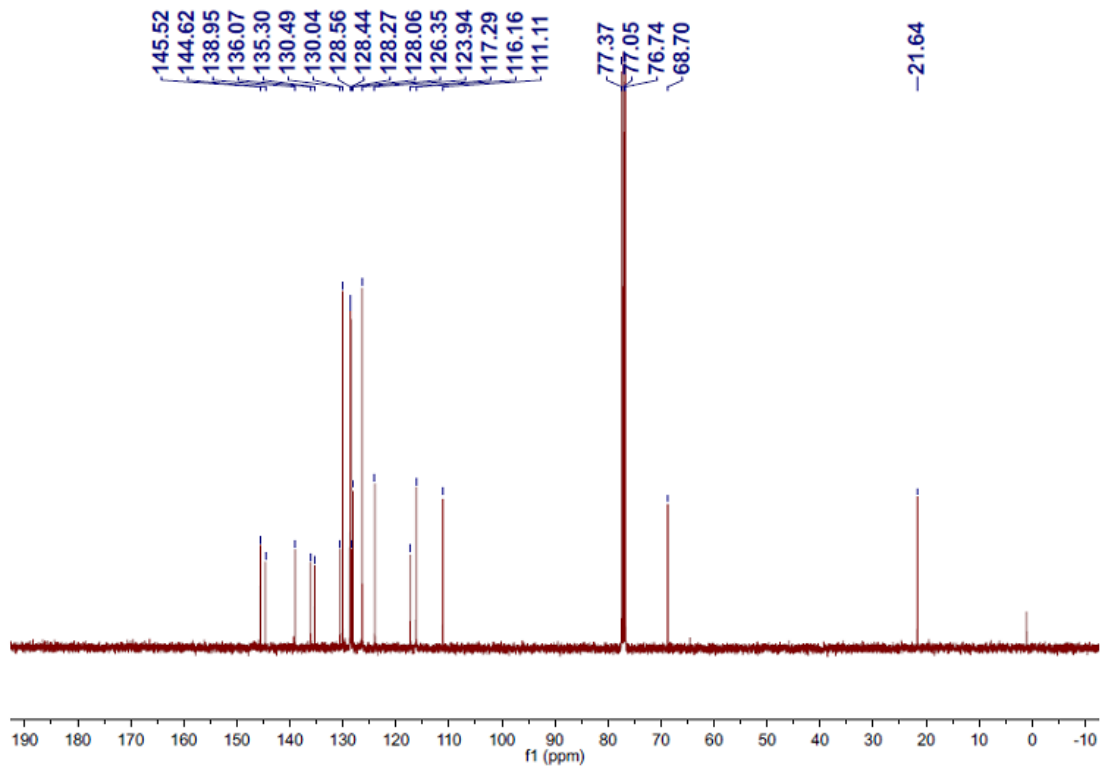
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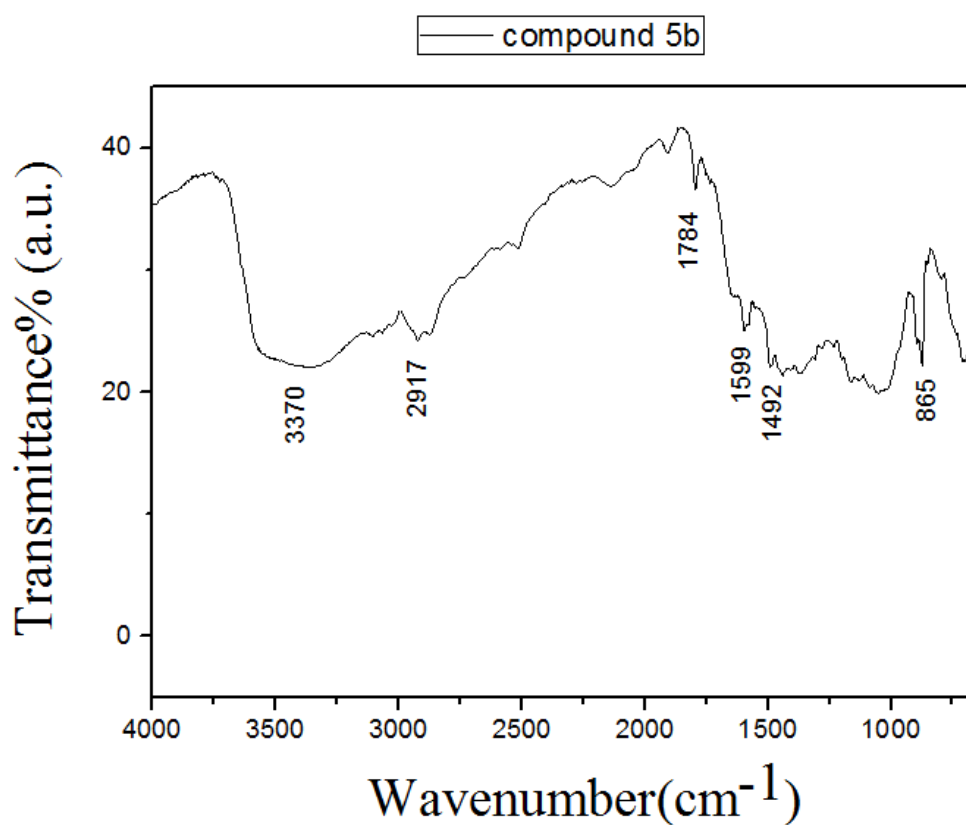
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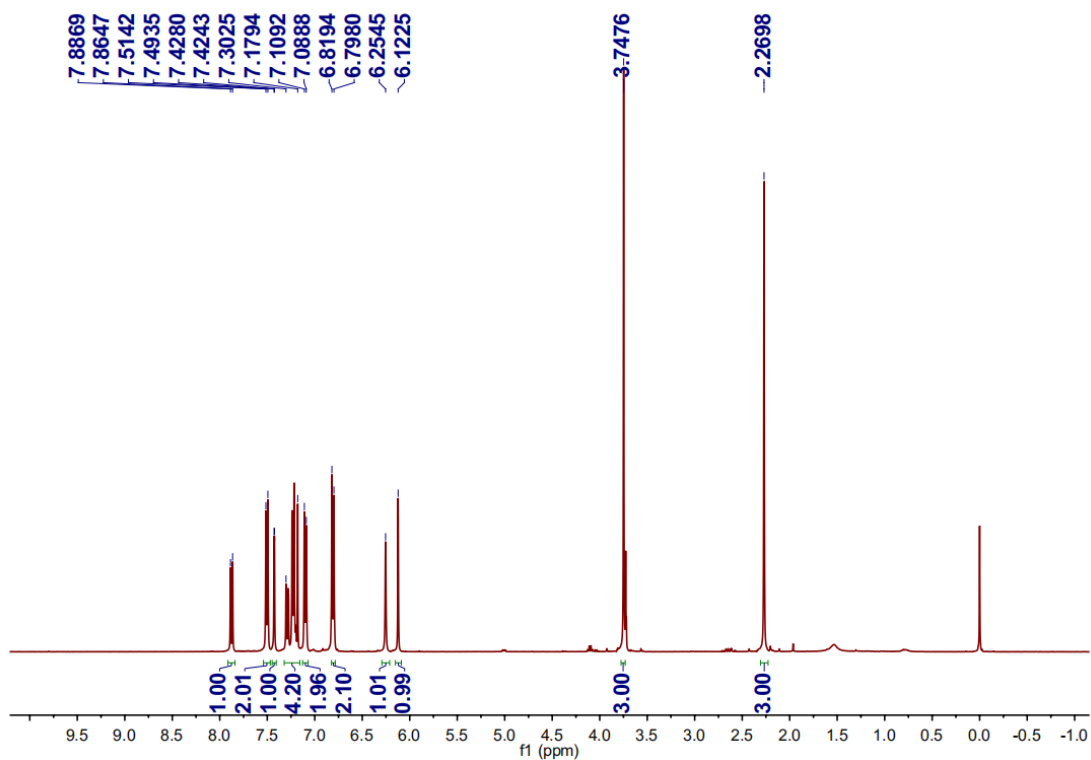
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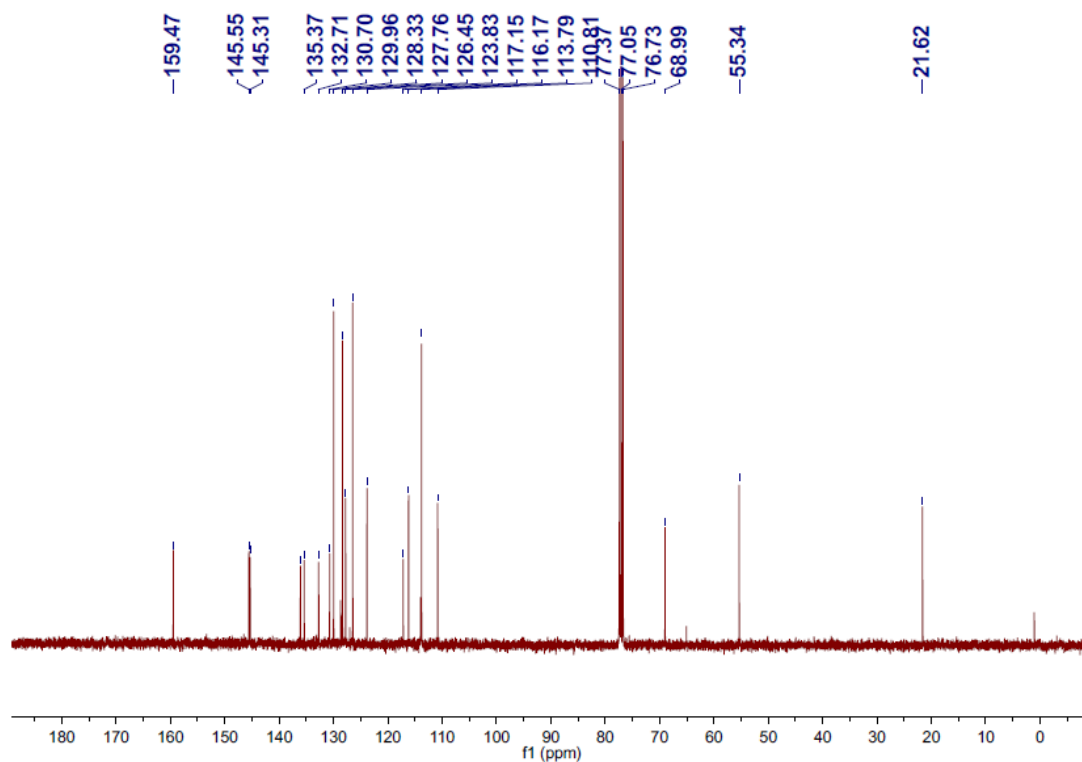
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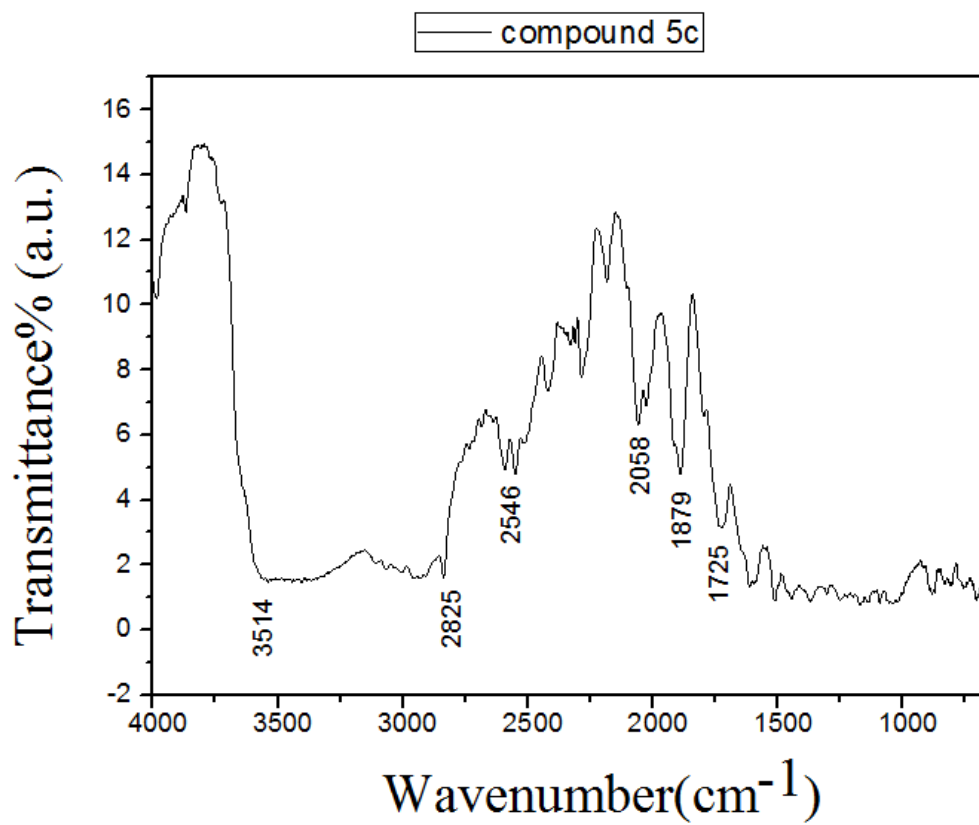
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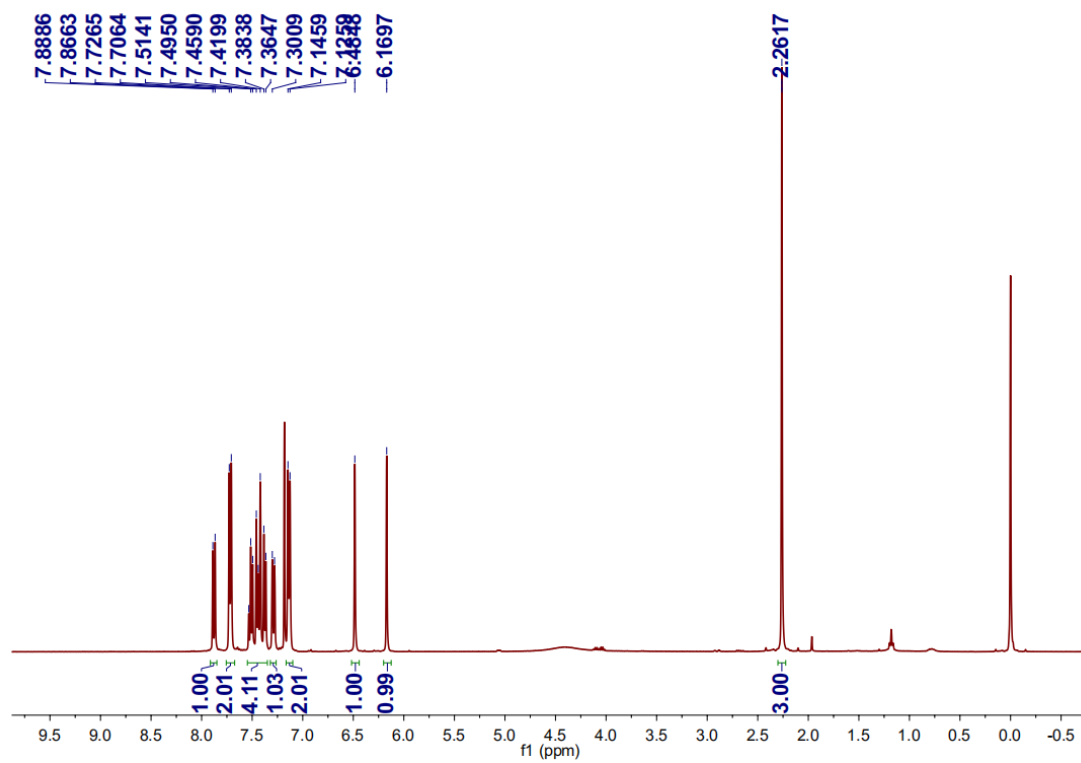
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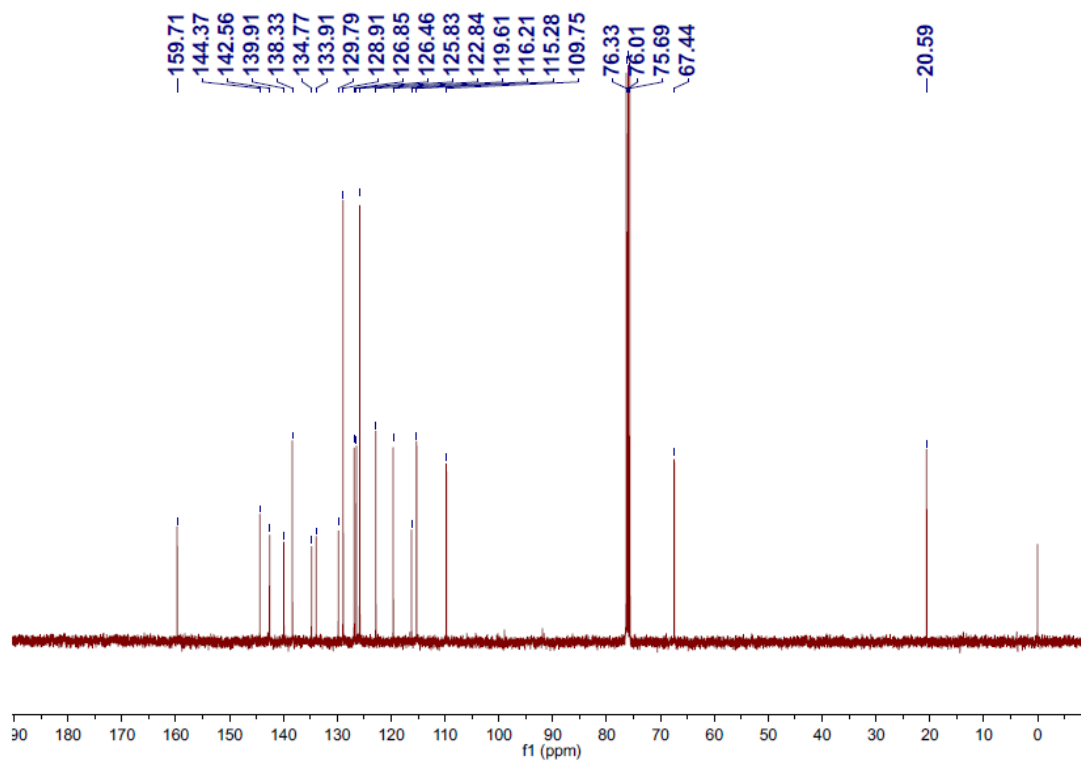
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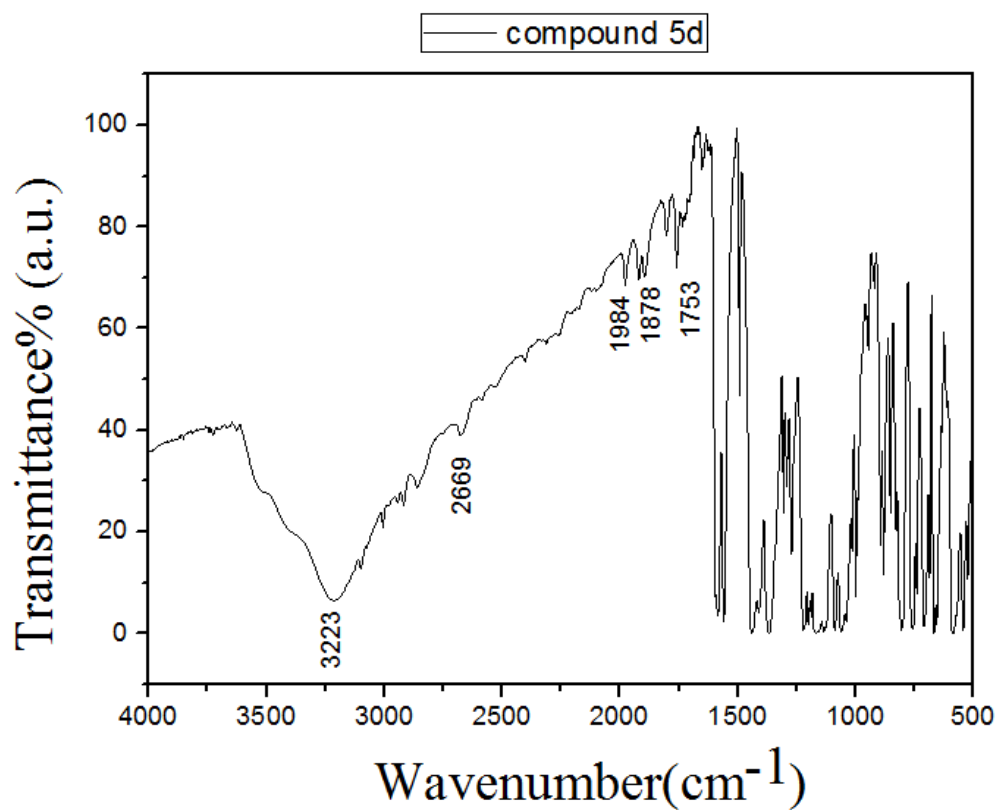
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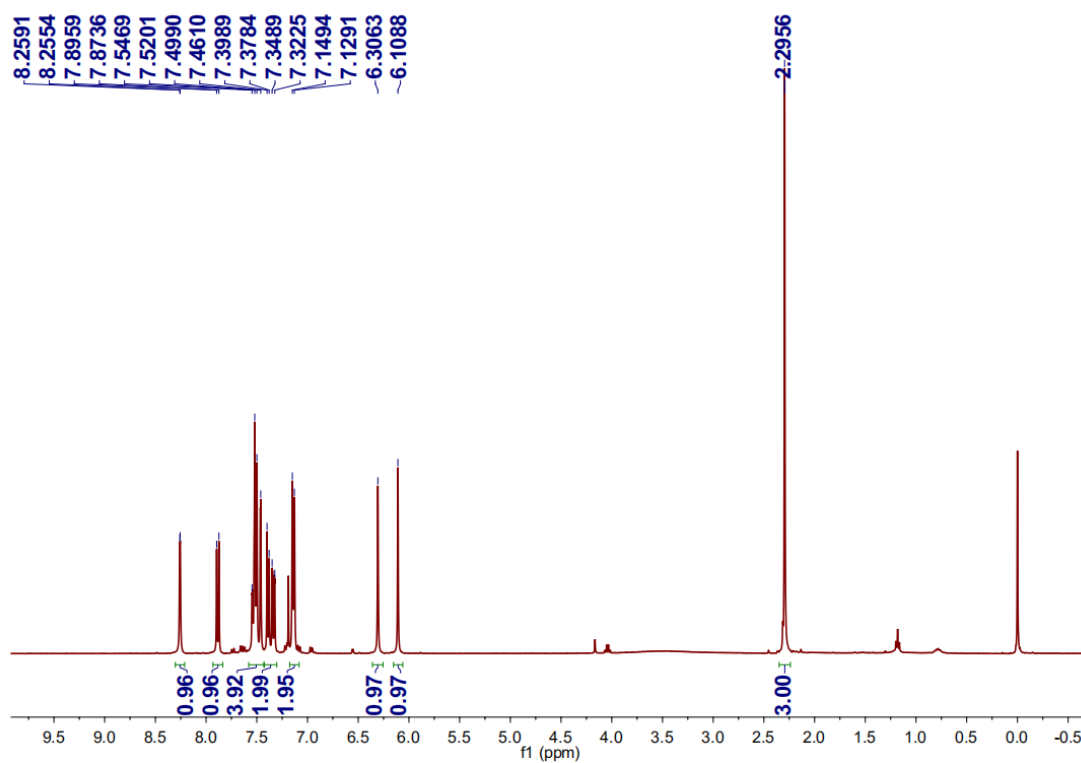
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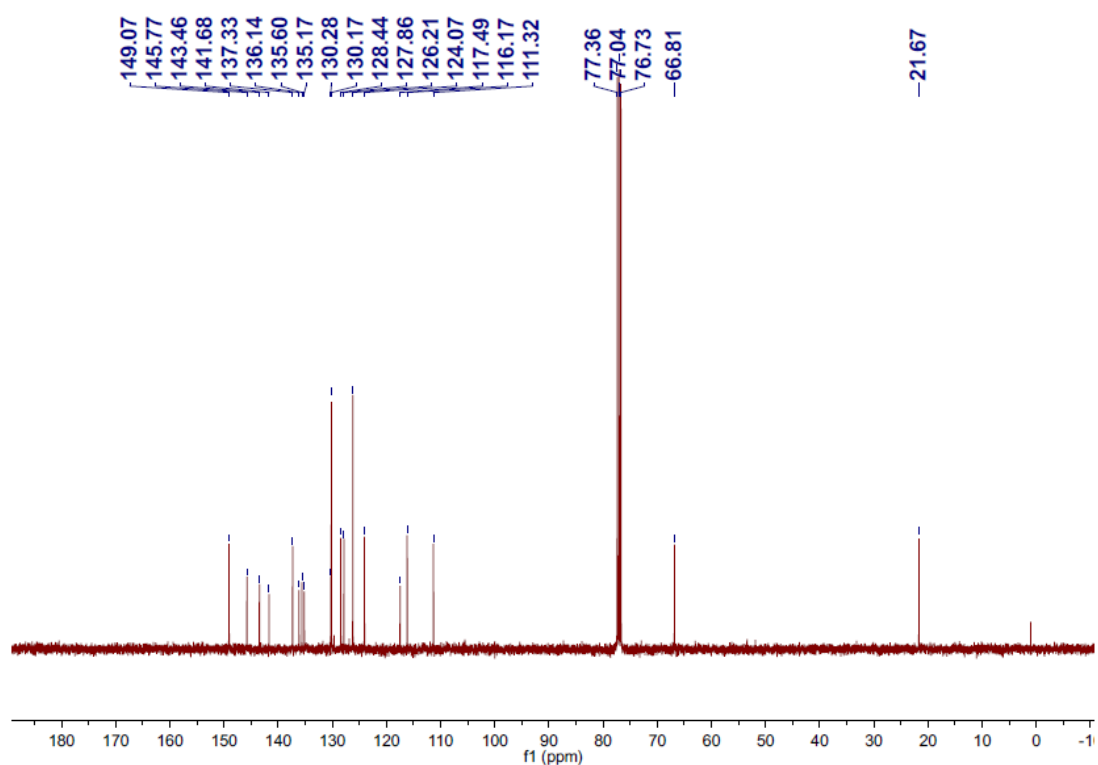
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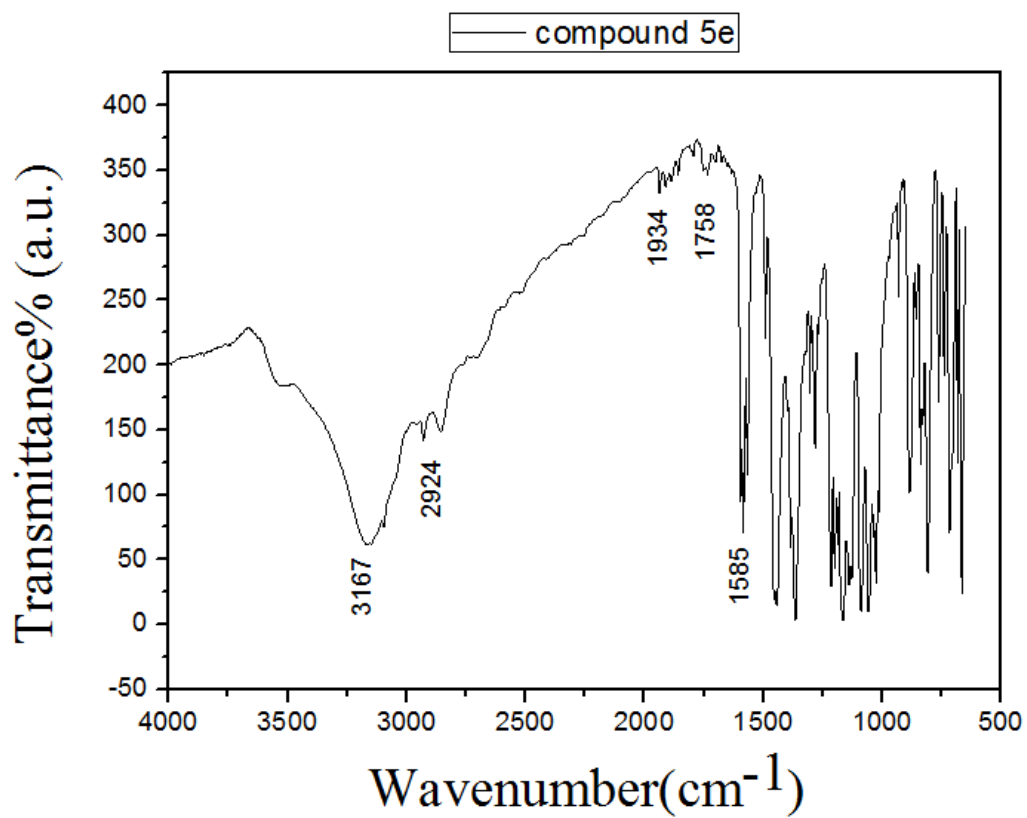
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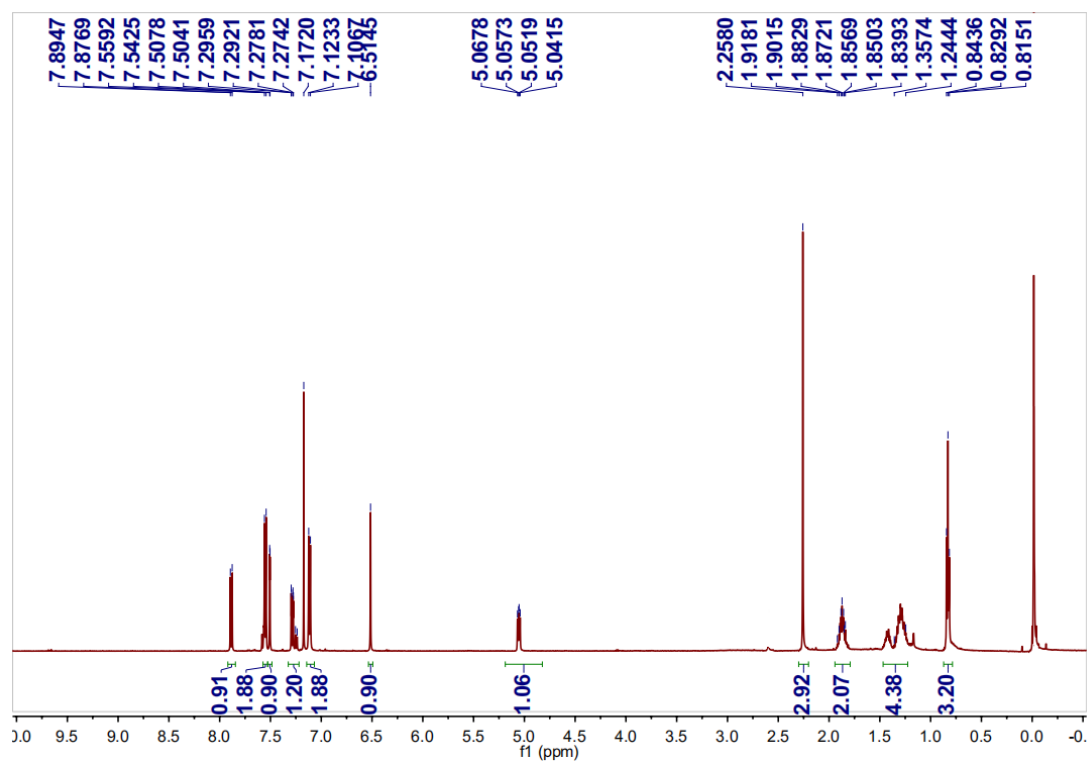
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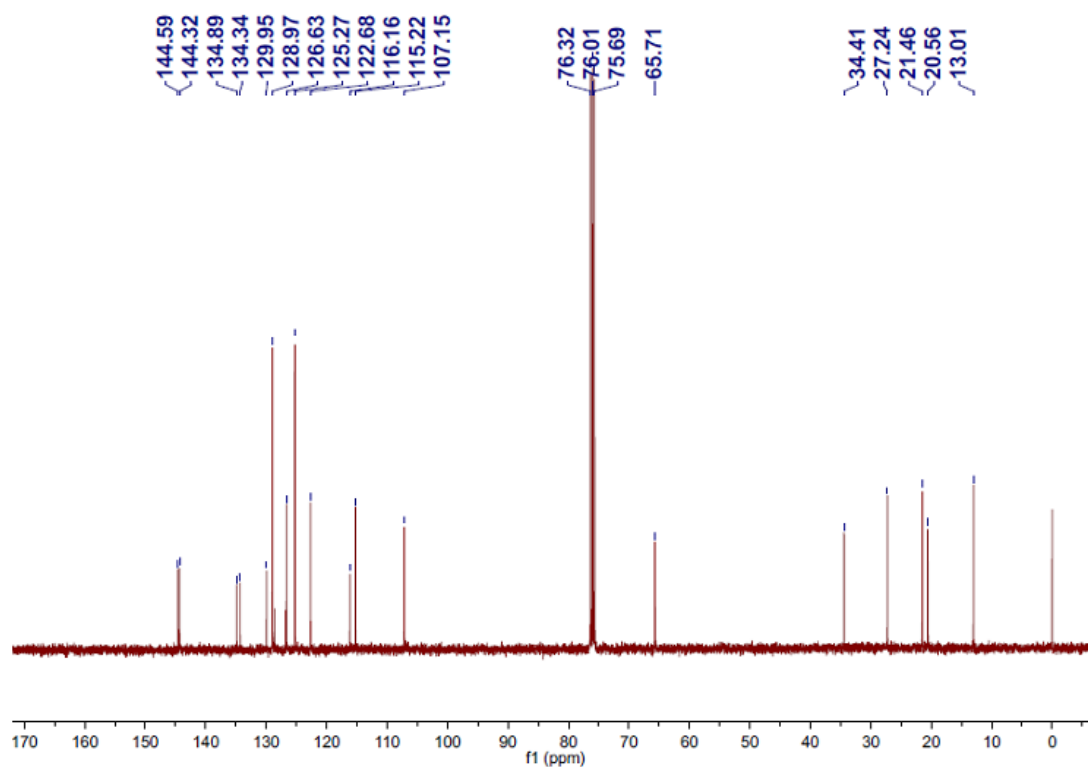
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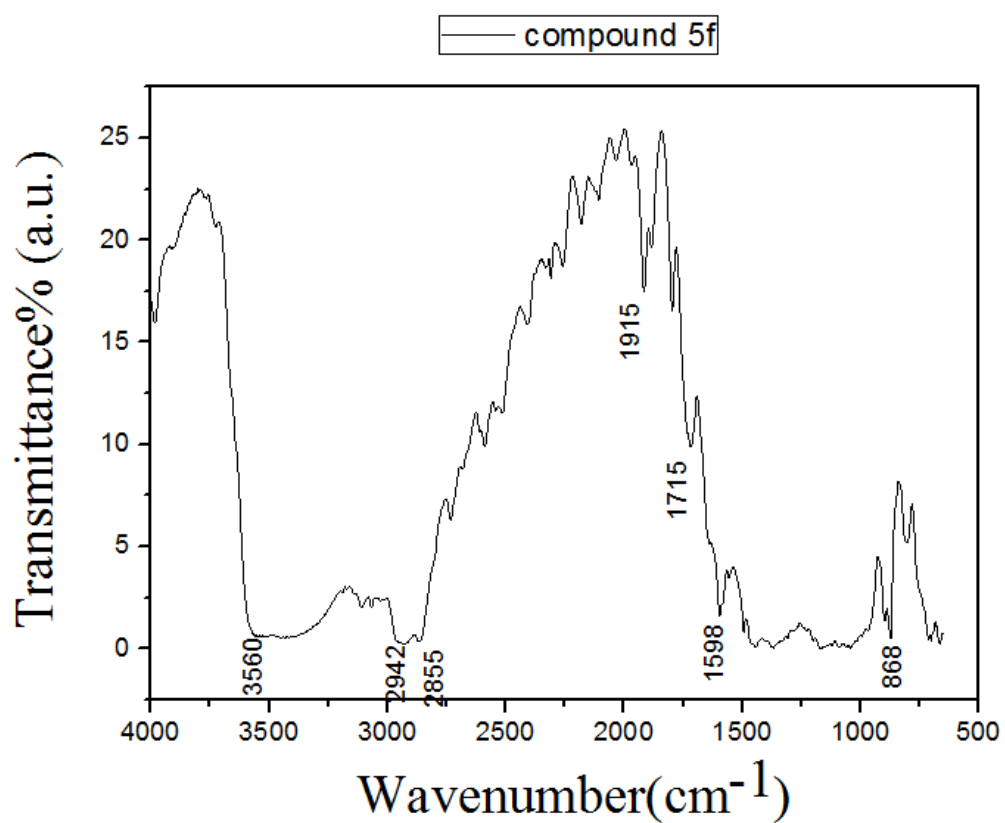
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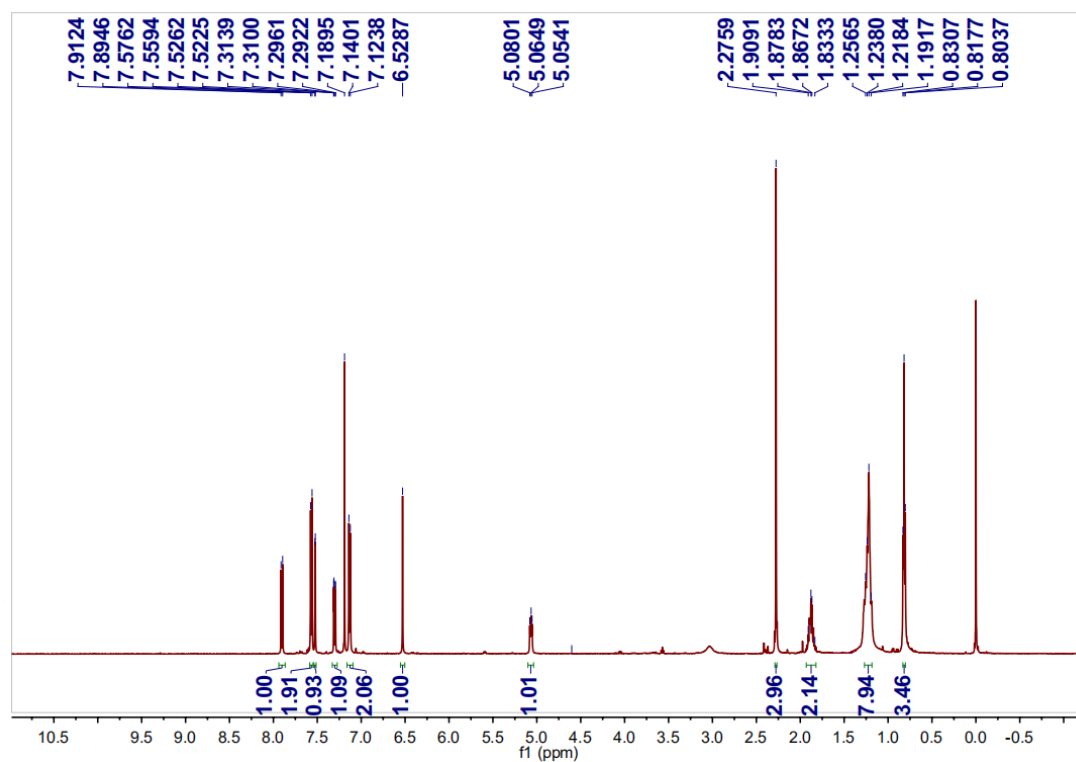
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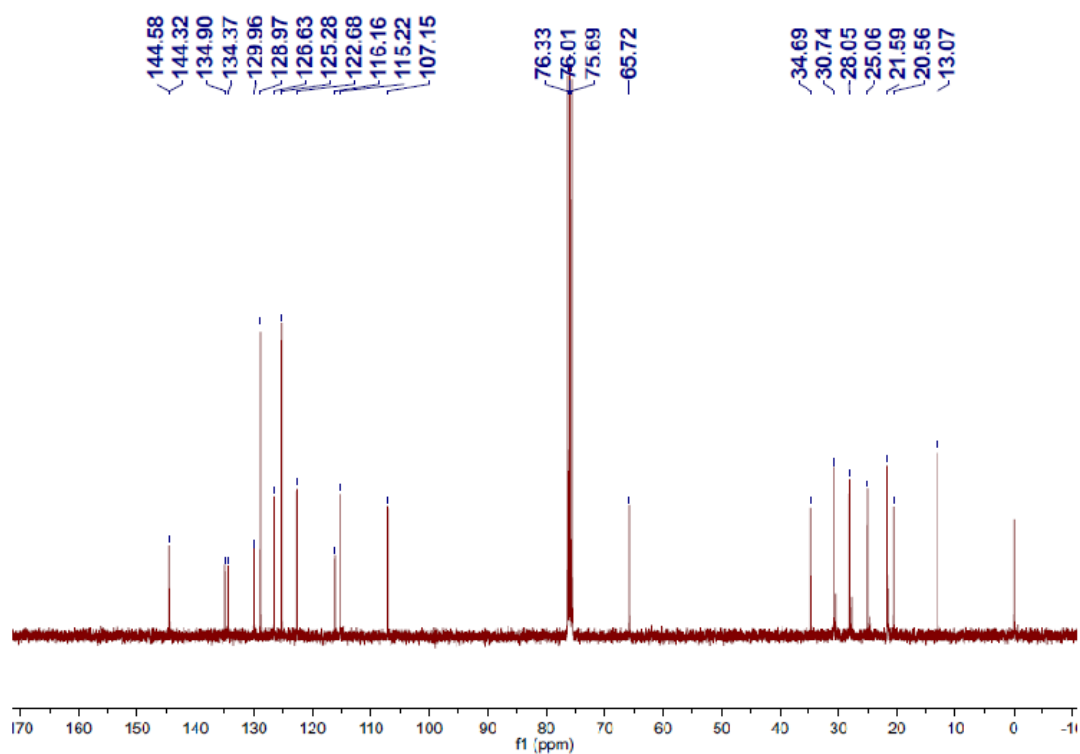
IR of compound **5f**



¹H NMR of compound **5g**



^{13}C NMR of compound **5g**



IR of compound **5g**

