SUPPORTING INFORMATION

Pd(II)-Catalyzed [4+1+1] Cycloaddition of Simple *o*-Aminobenzoic Acids, CO and Amines: Direct and Versatile Synthesis of Diverse *N*-Substituted Quinazoline-2,4(1*H*,3*H*)-diones

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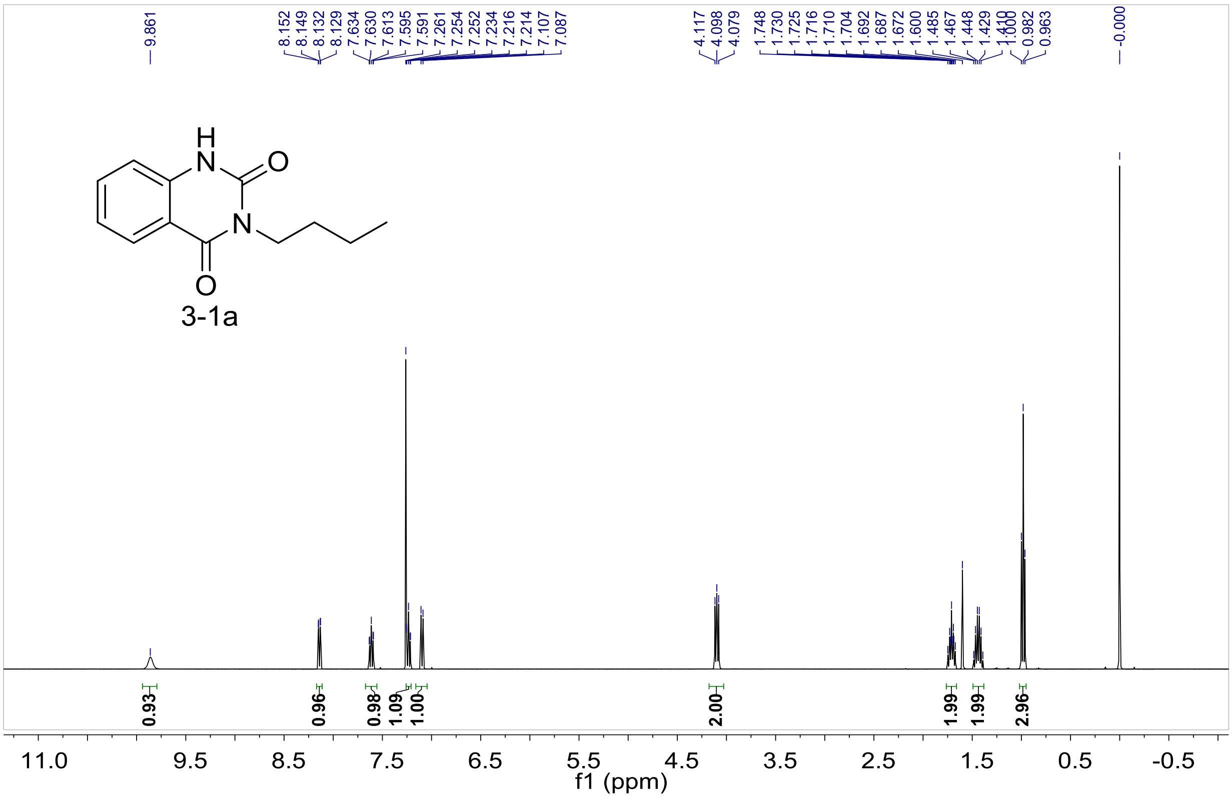
Table of Contents

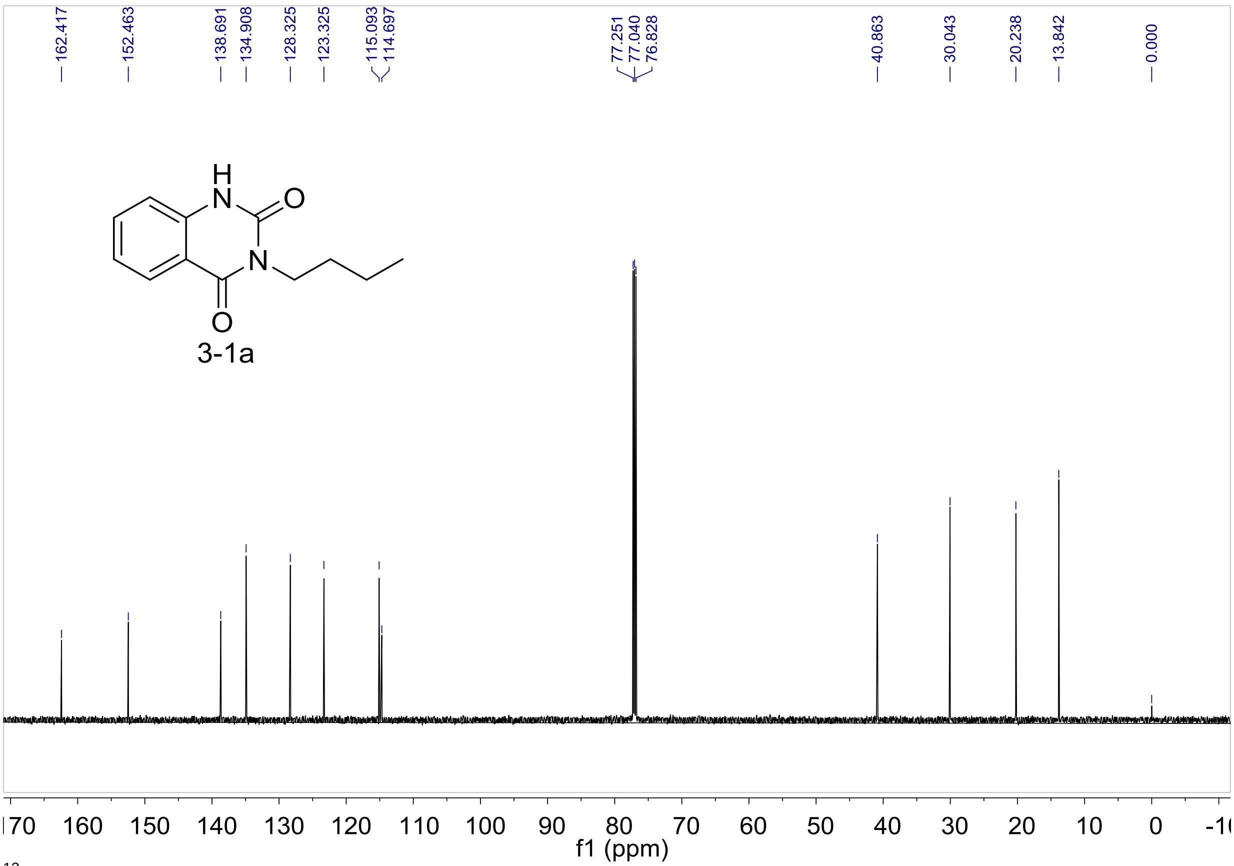
1. General Information

All reactions were performed in a 50 mL three-necked round-bottom flask. Unless otherwise stated, all reagents and materials were commercially obtained and used without further purification. *o*-ethylaminobenzoic acid, *o*-isopropylaminobenzoic acid and all the *o*-methylaminobenzoic acids bearing substituents on the benzene ring were prepared according to the reported method.[1] Reactions were monitored by thin layer chromatography (TLC) using silica gel plates (silica gel 60 F254) and components were visualized by observation under UV light. Flash column chromatography was performed with 200-300 mesh silica gel. Melting points were determined with a Yuhua X-5 apparatus (Gongyi, China) and were uncorrected. All new compounds were characterized by ^{1}H NMR, ^{13}C NMR and high resolution mass spectra (HRMS). The known compounds were characterized by ^{1}H NMR and ^{13}C NMR. ^{1}H and ^{13}C NMR data were recorded with Bruker Avance NEO 400 spectrometer and Bruker Avance III HD 600 spectrometer with tetramethylsilane (TMS) as an internal standard. All chemical shifts (δ) were reported in ppm and were referenced to residual solvent or TMS peaks. HRMS were obtained by using a Bruker Micro ToF II mass spectrometer.

2. NMR Spectra



^{1}H NMR of **3-1a**



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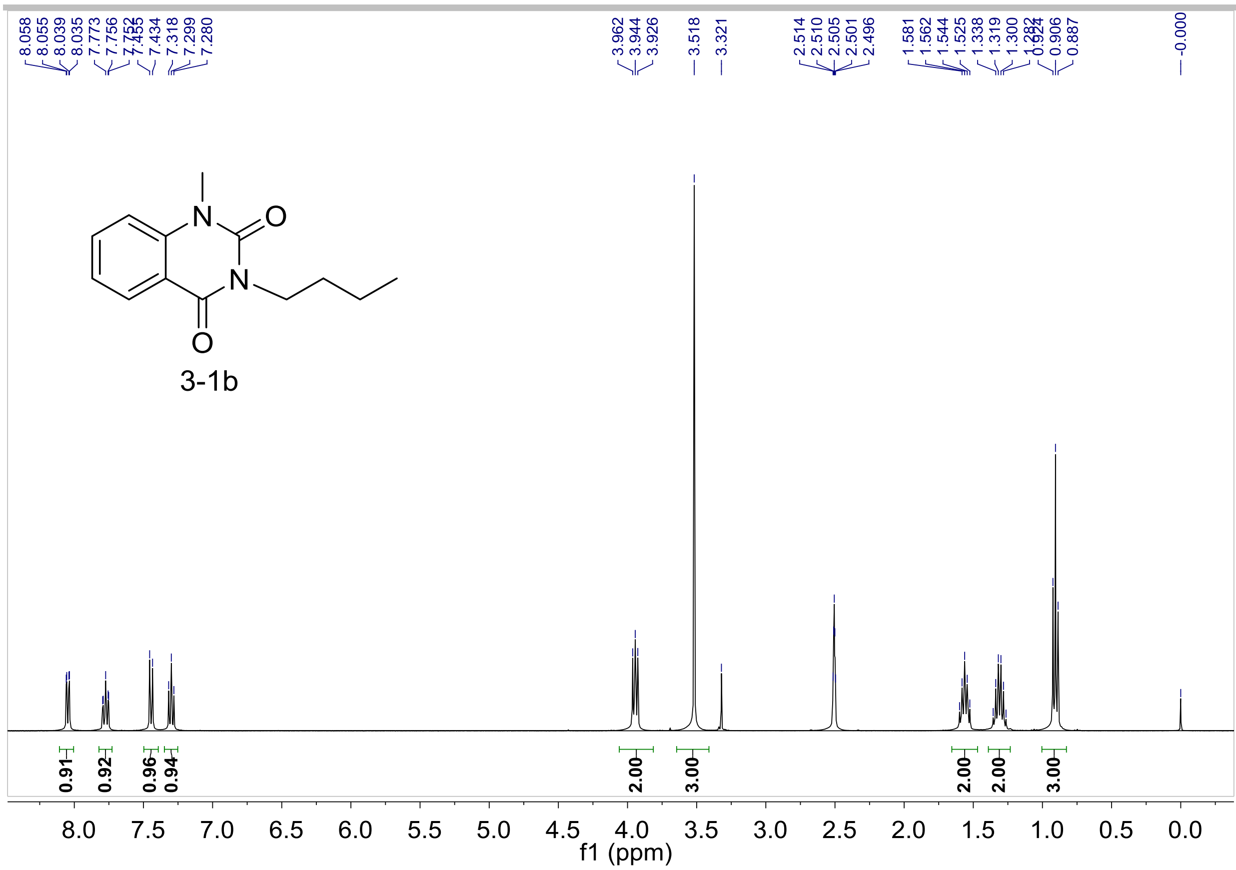

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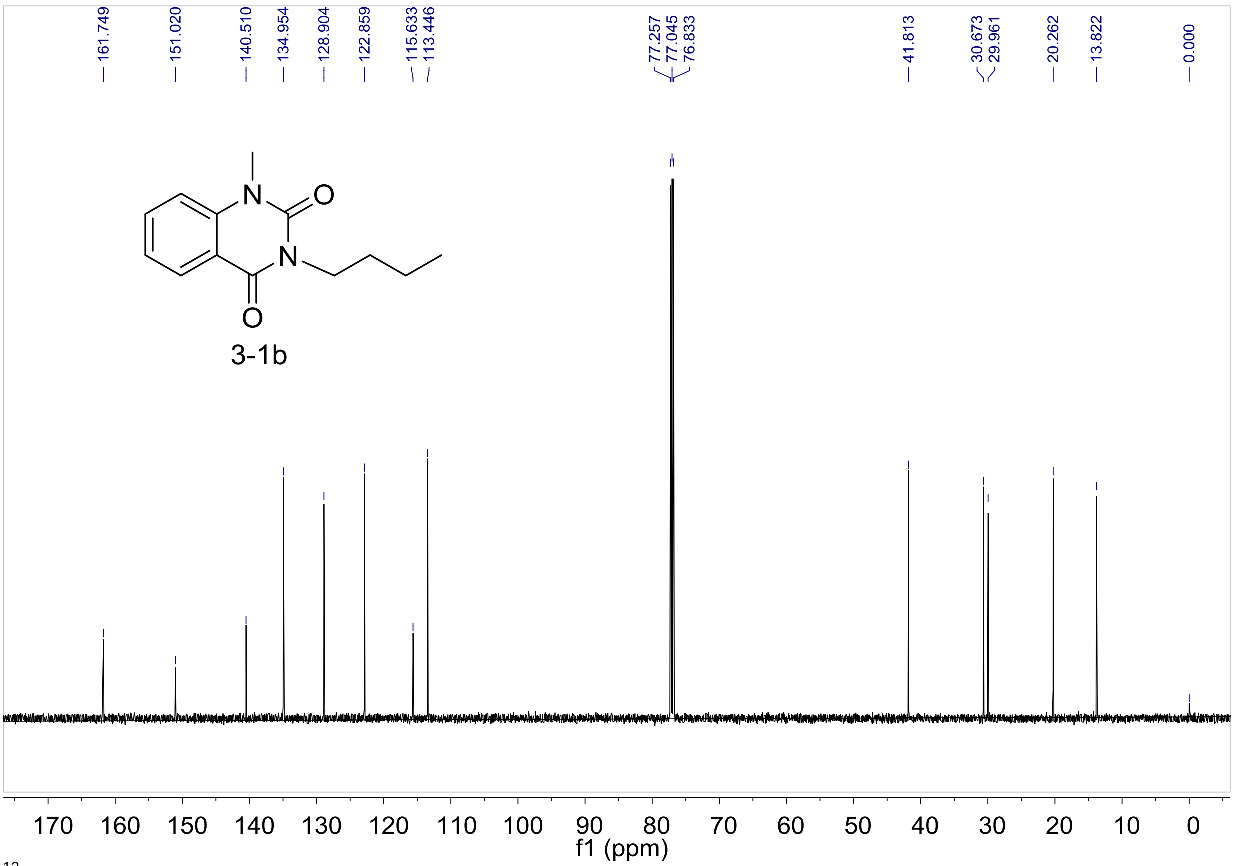

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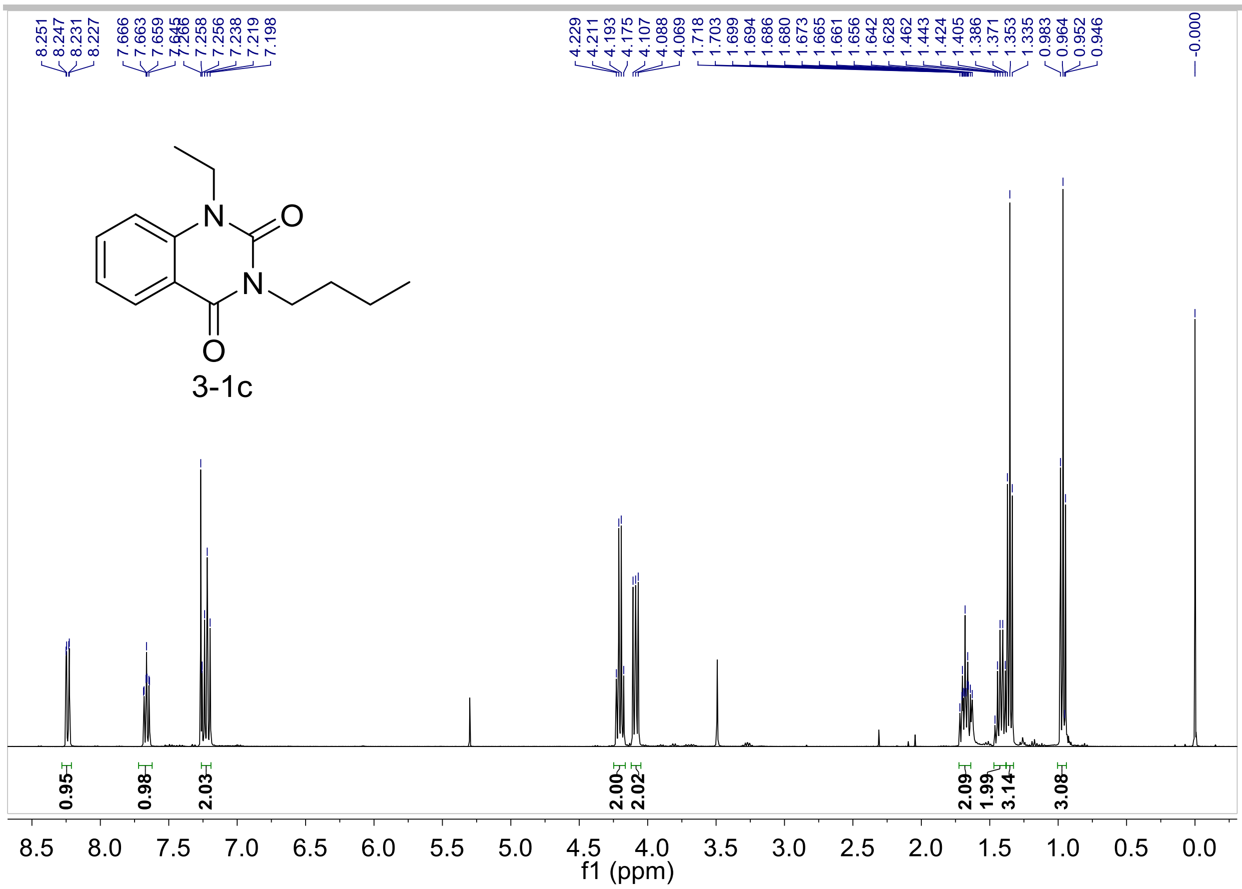

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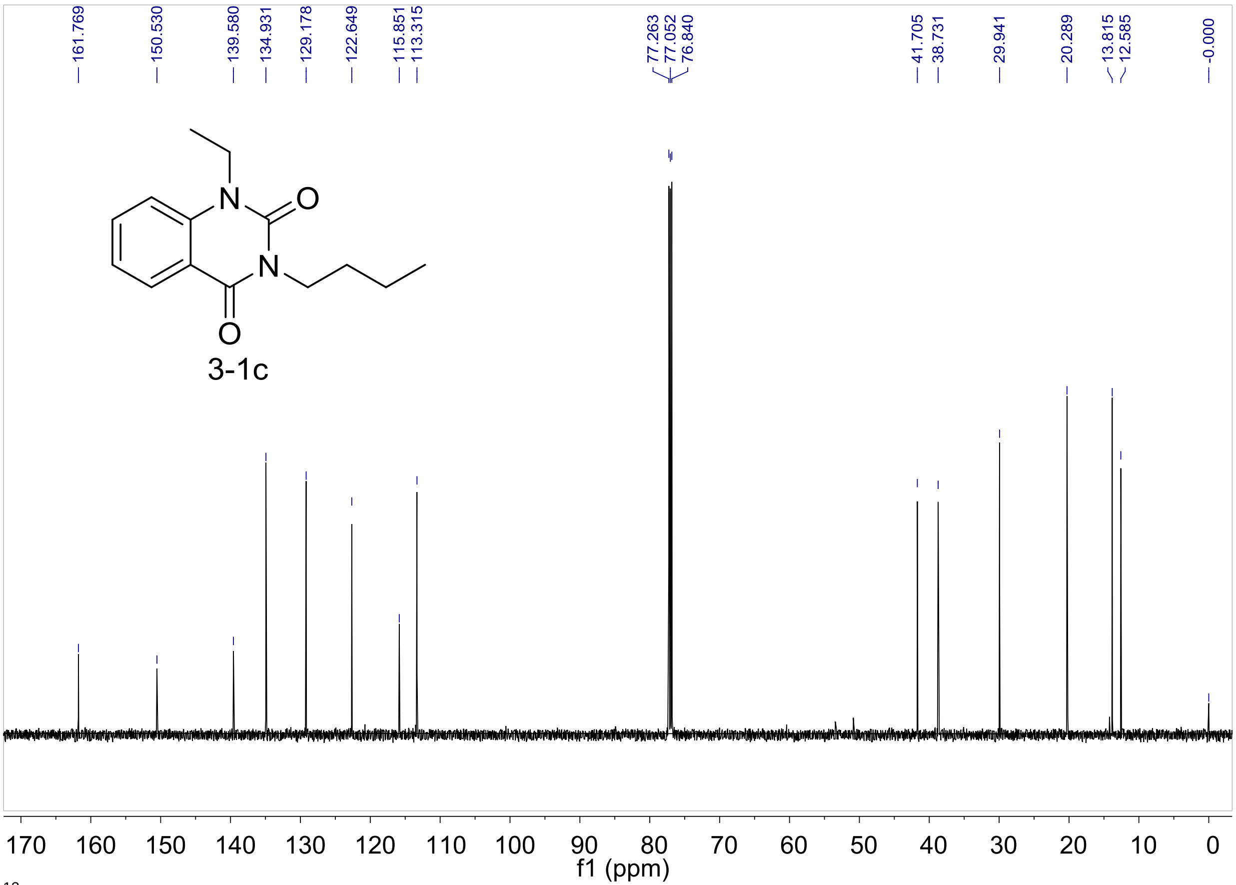

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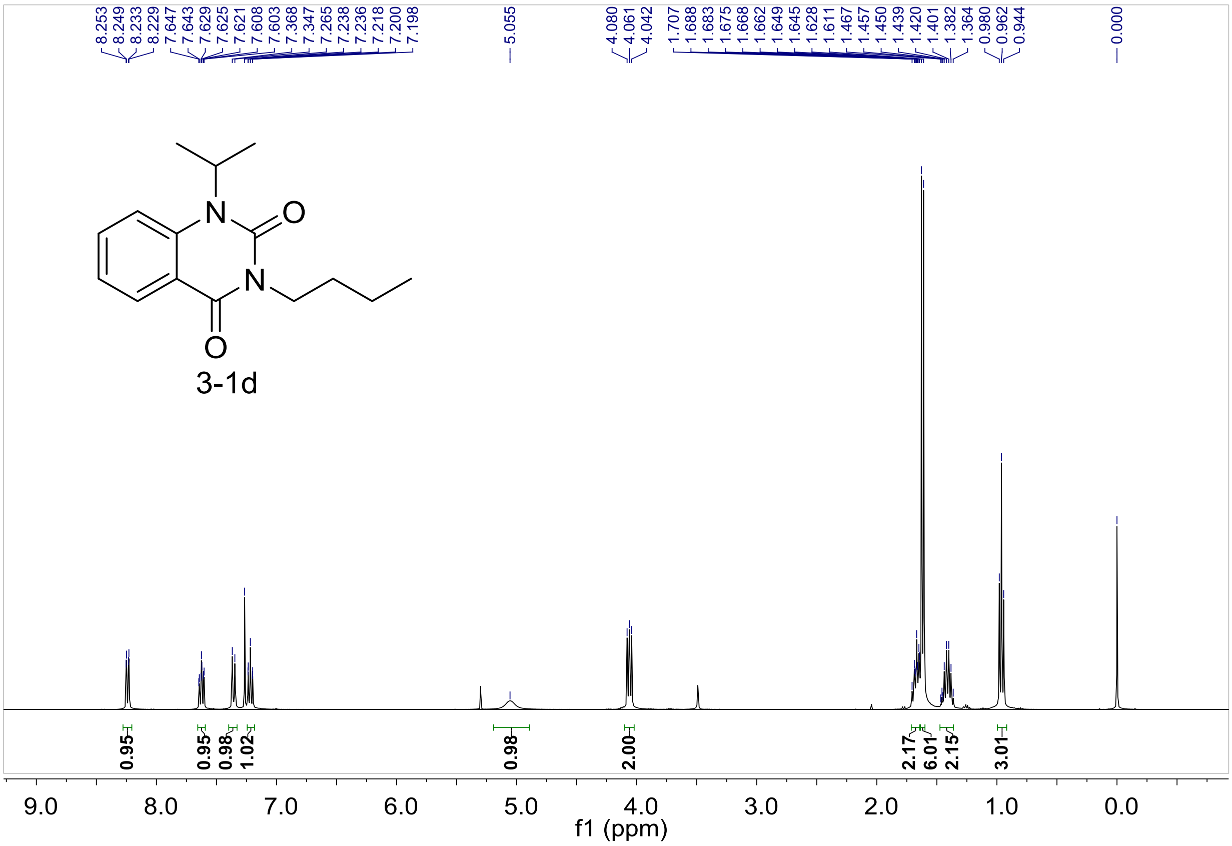

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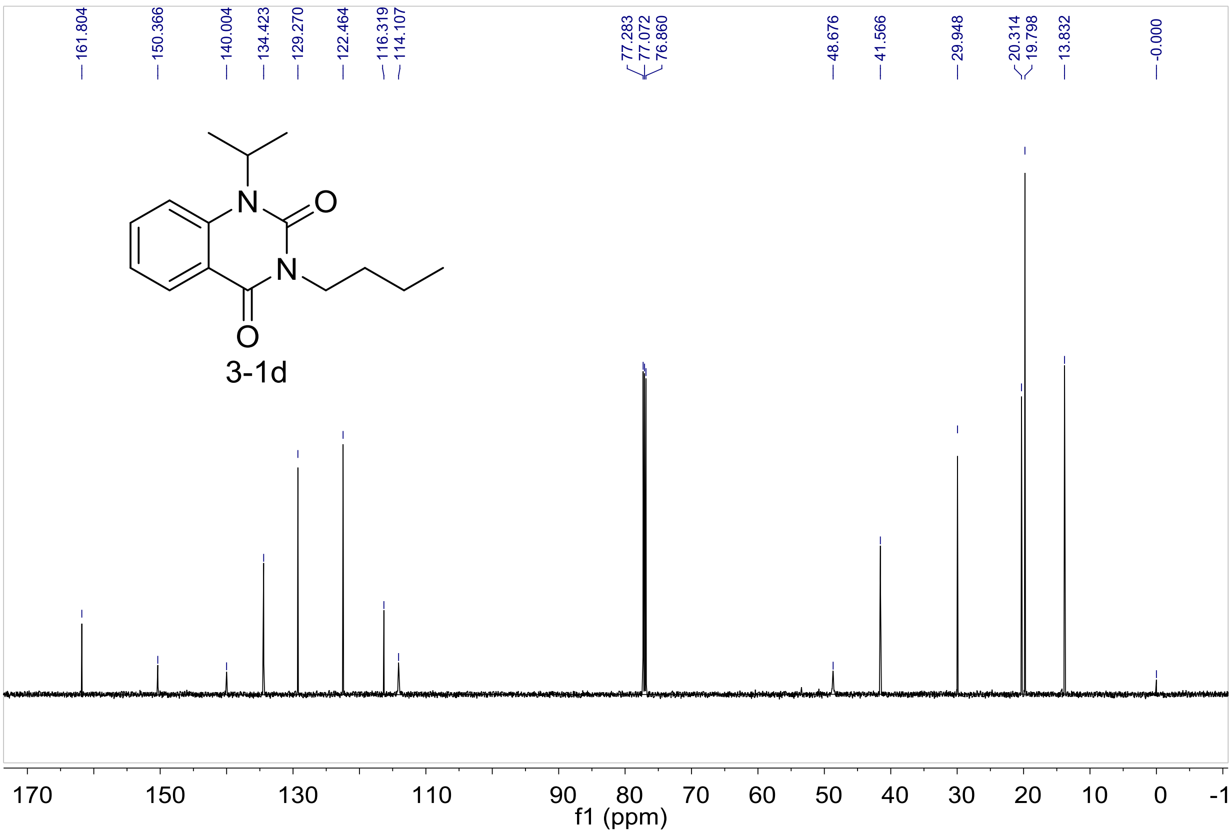

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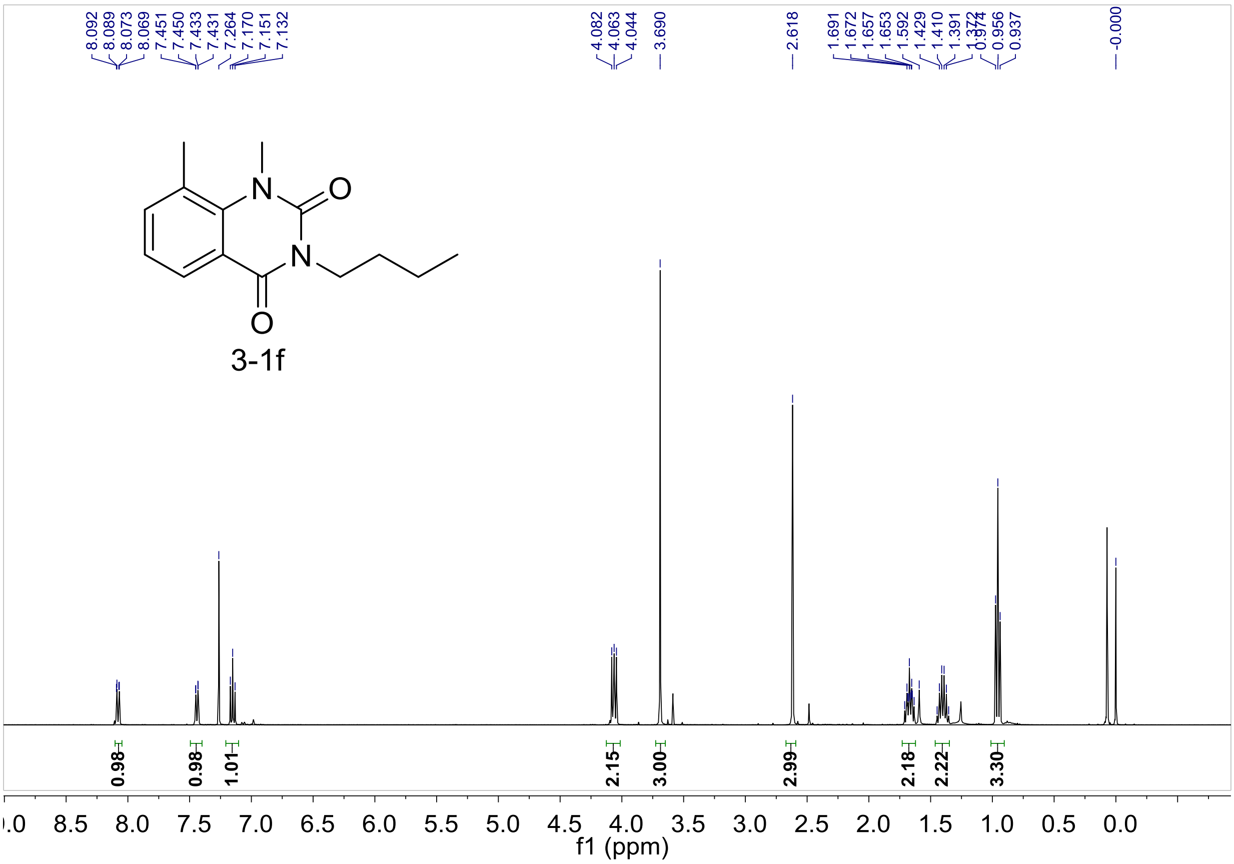

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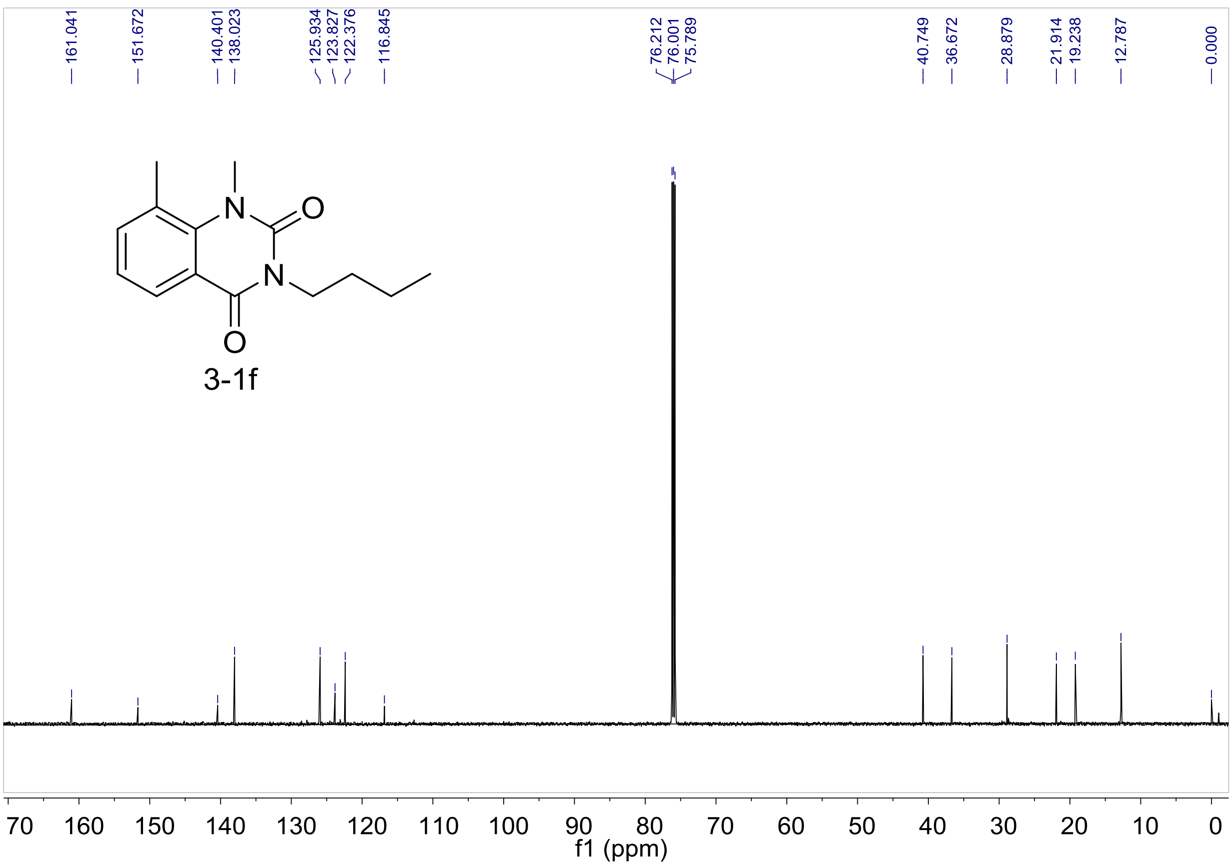

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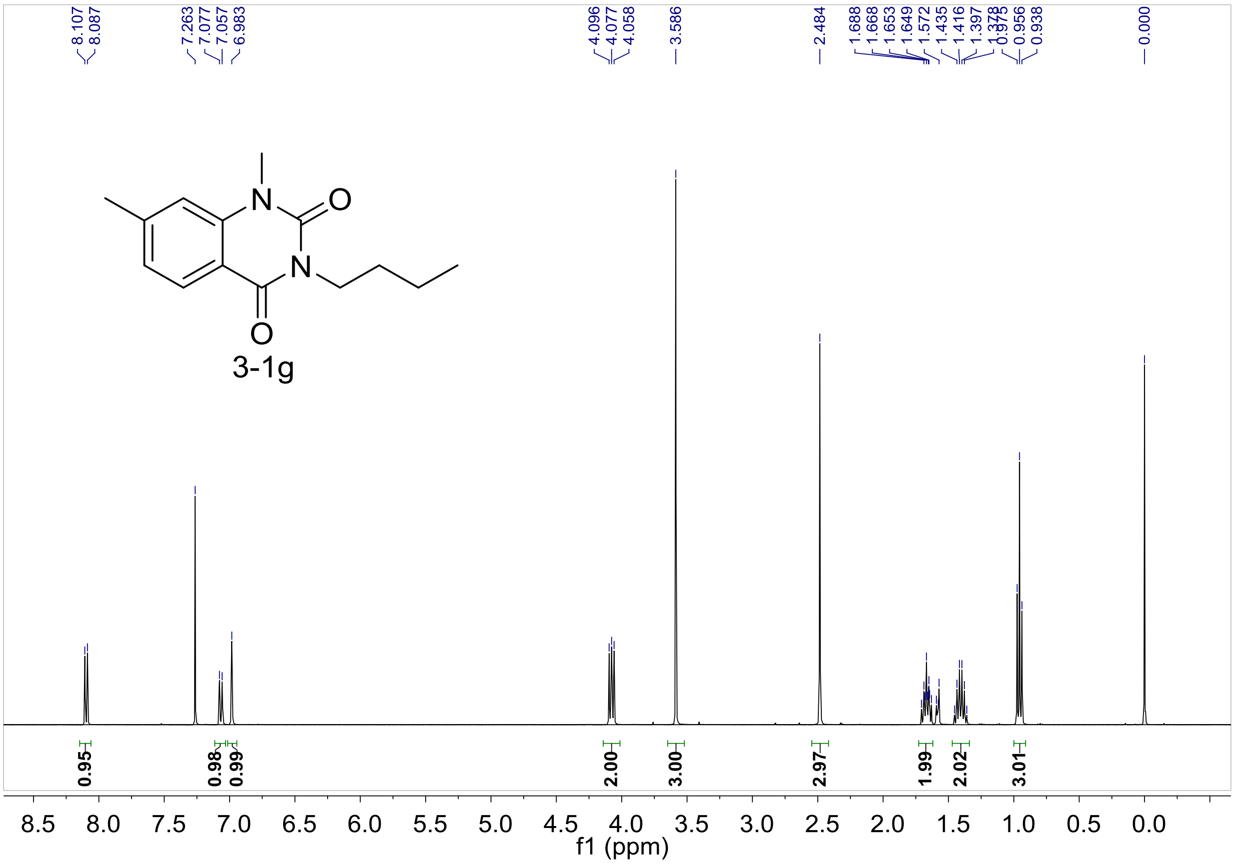

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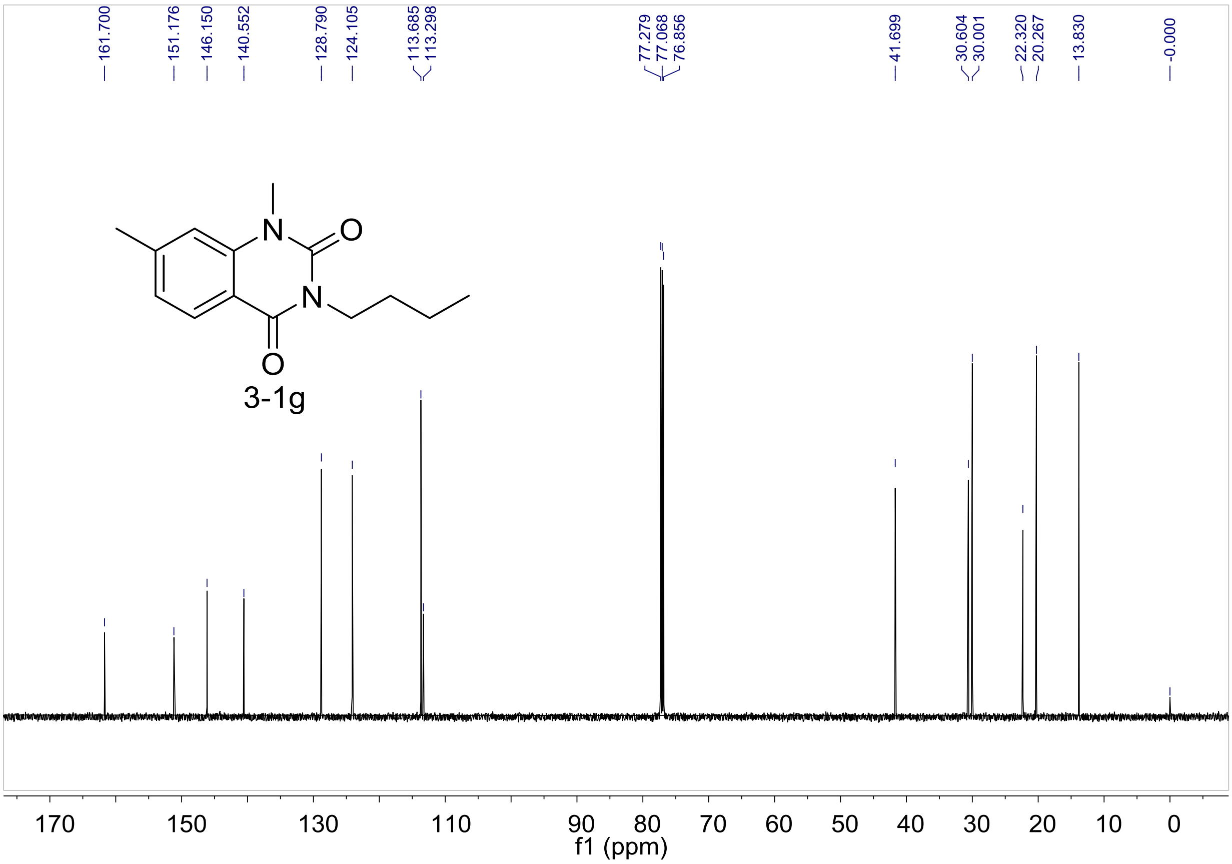

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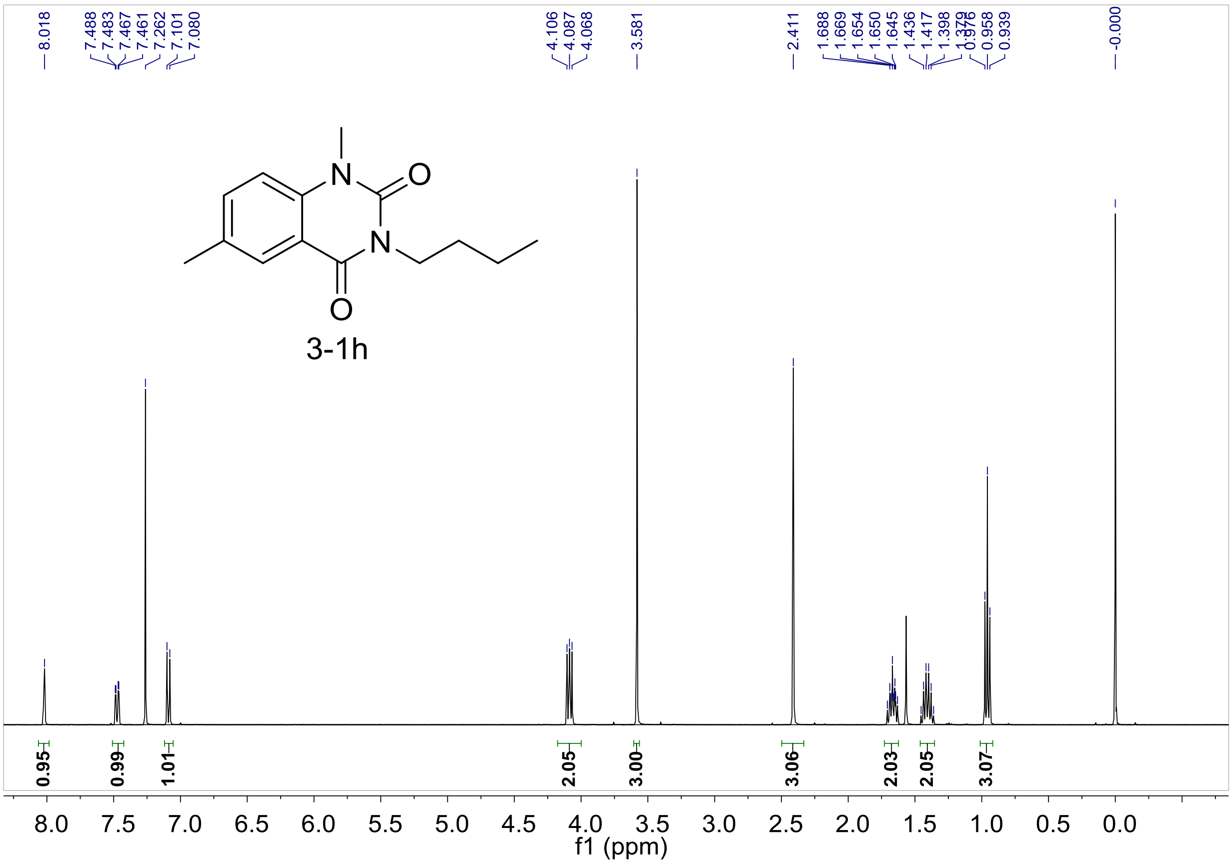

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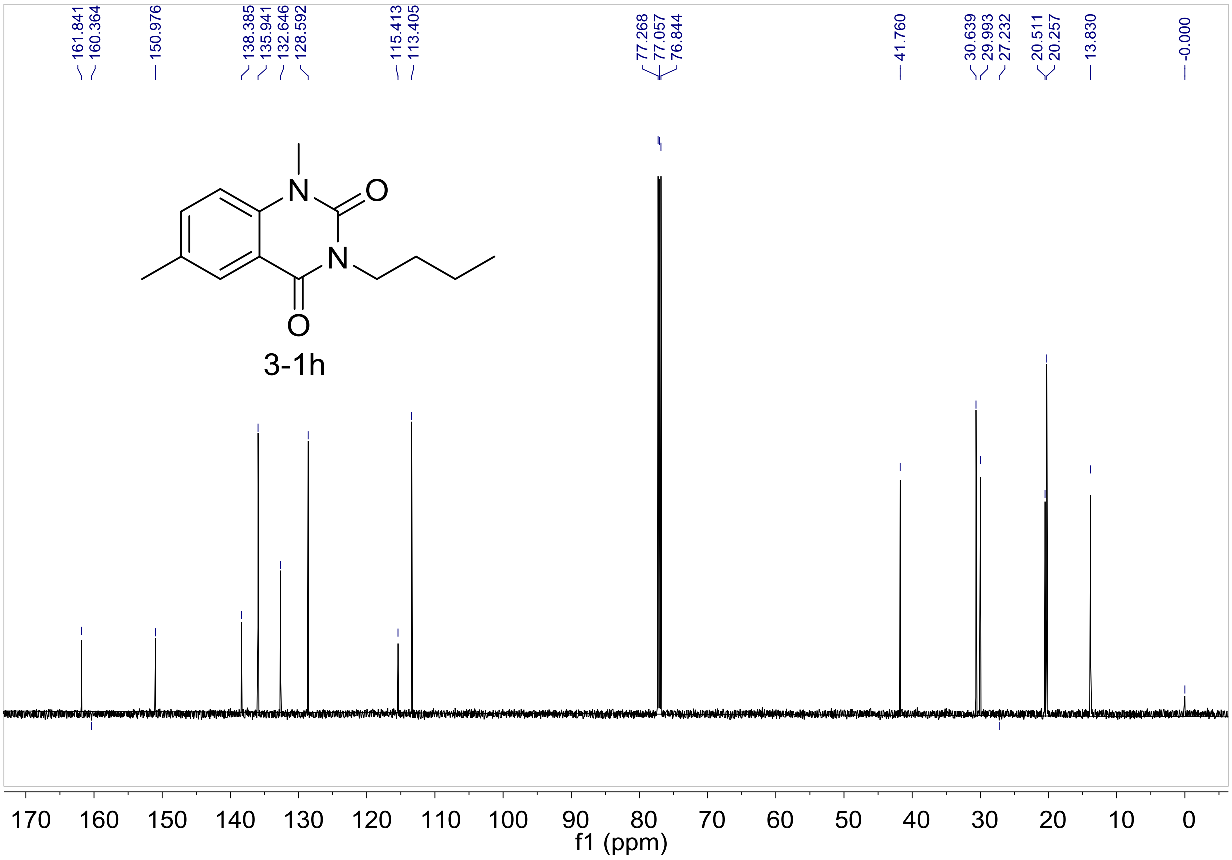

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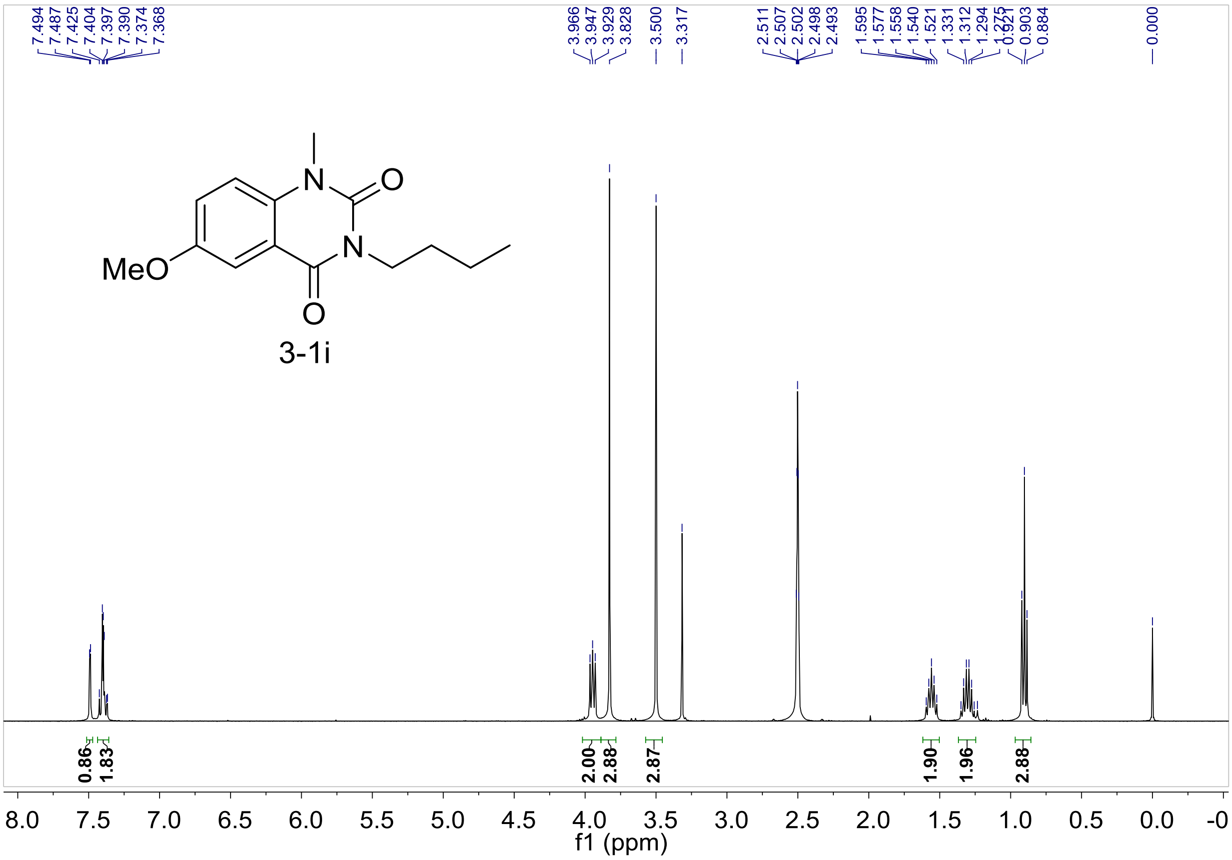

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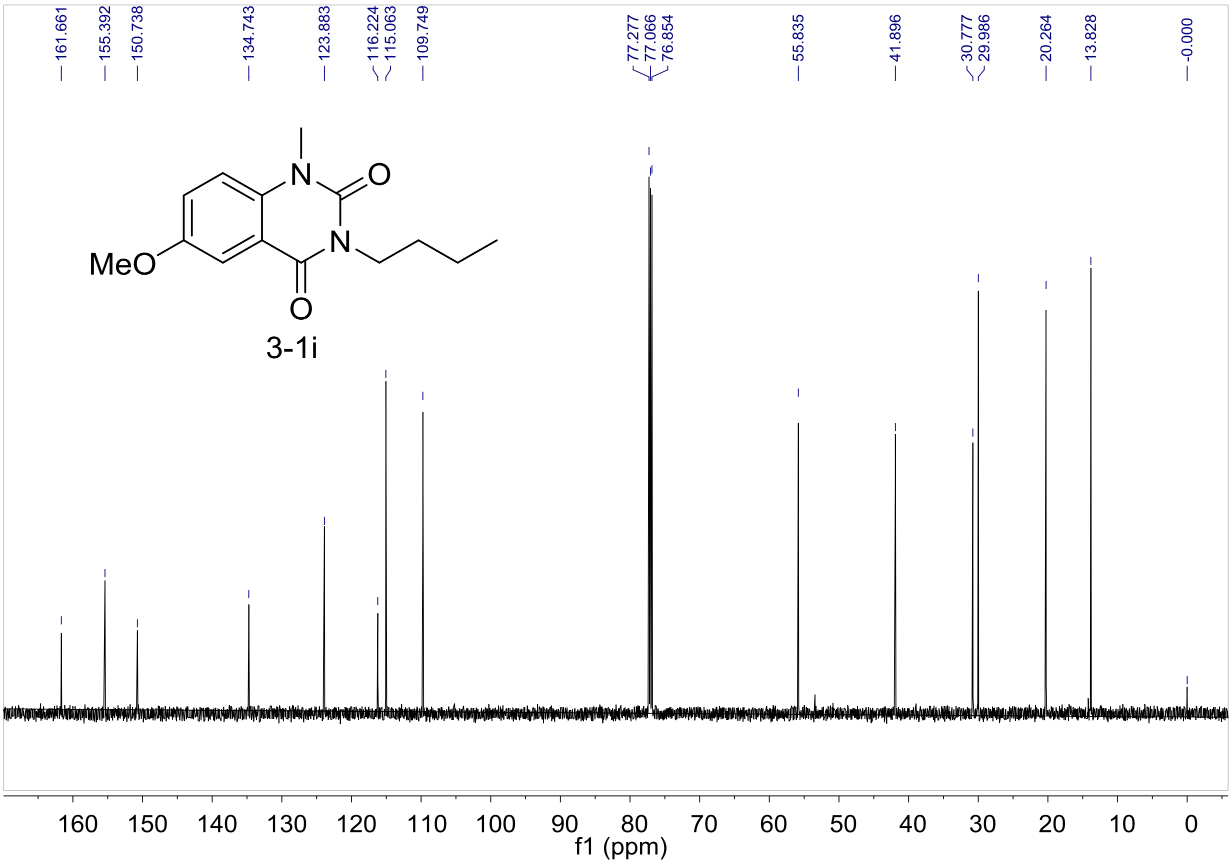

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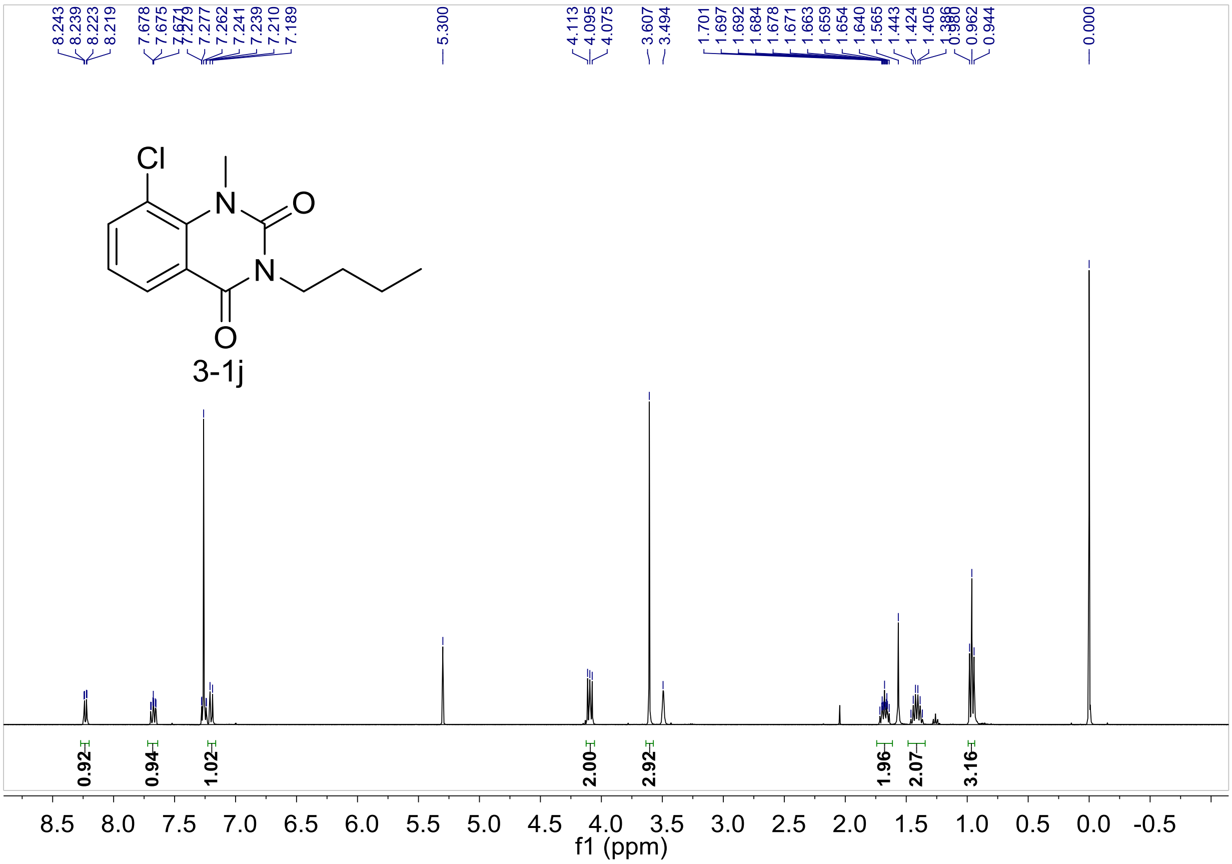

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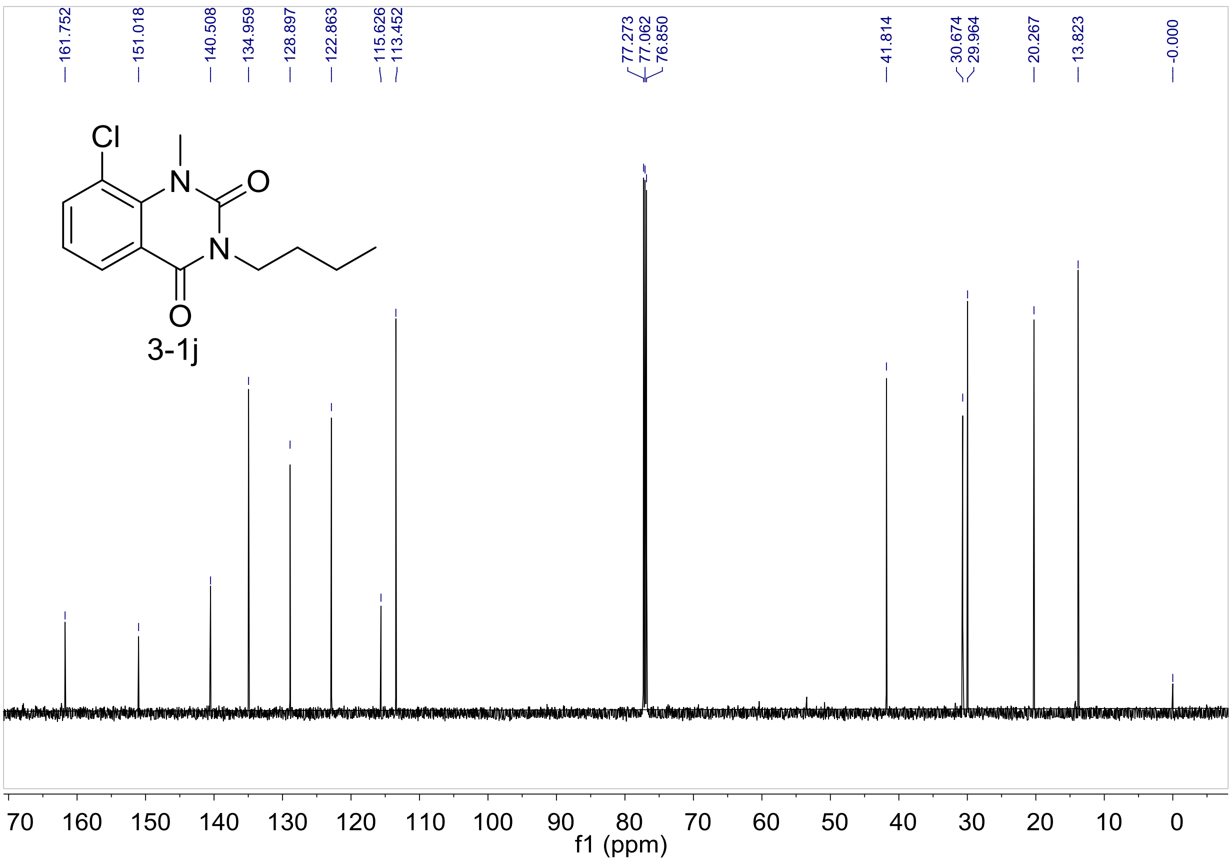

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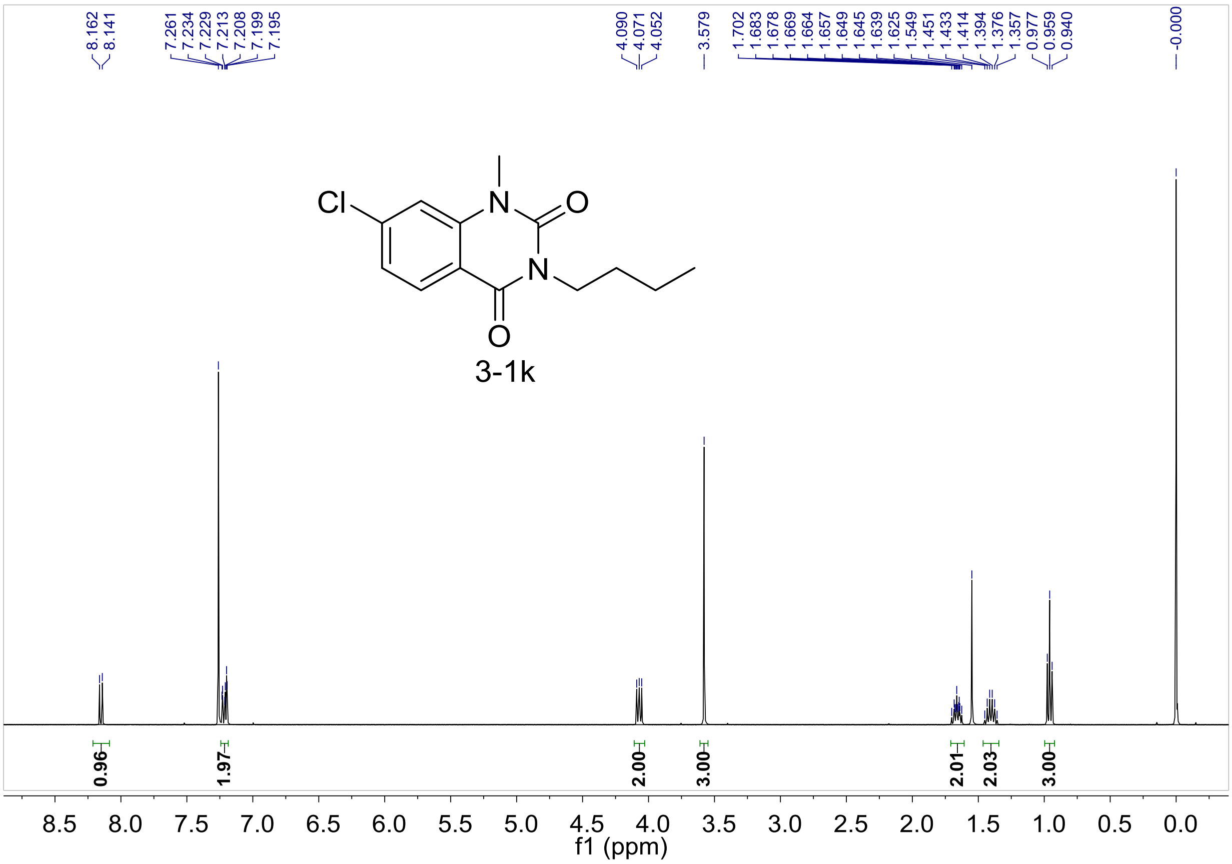

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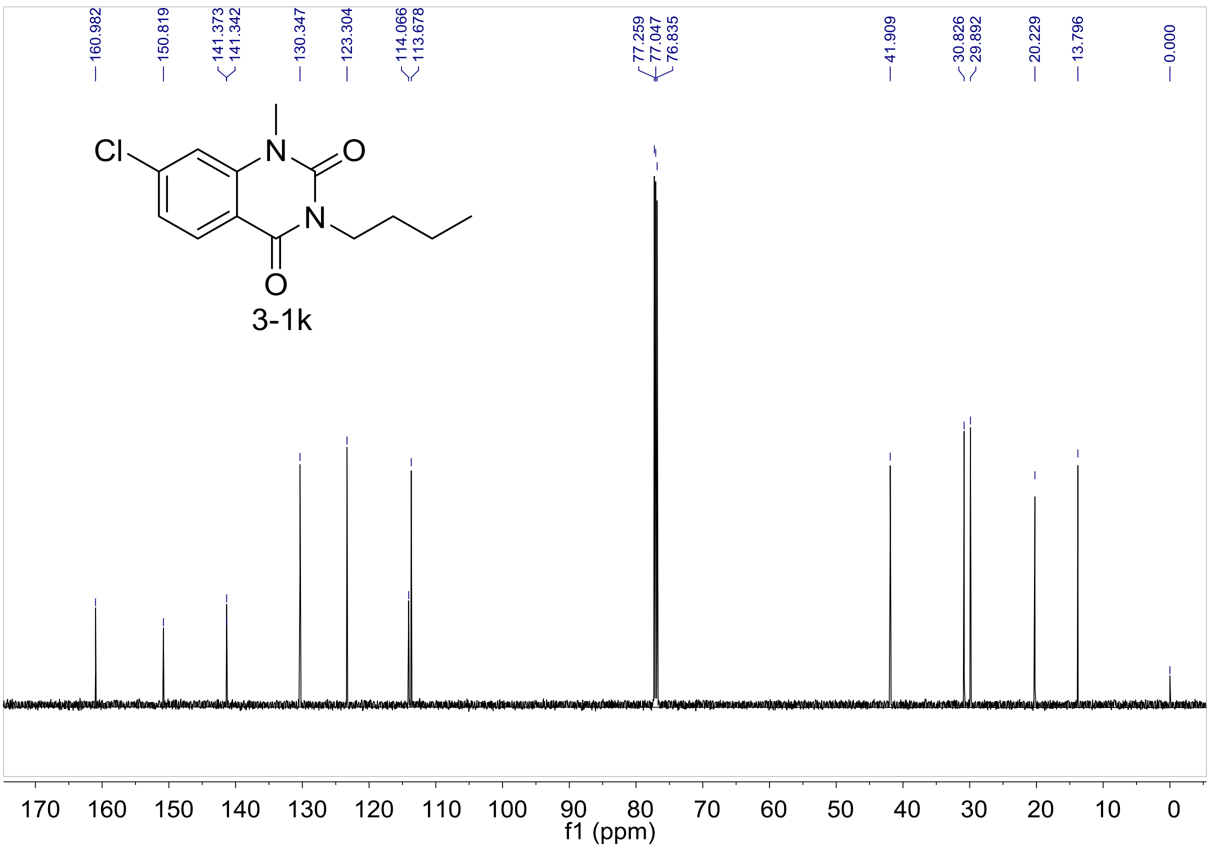

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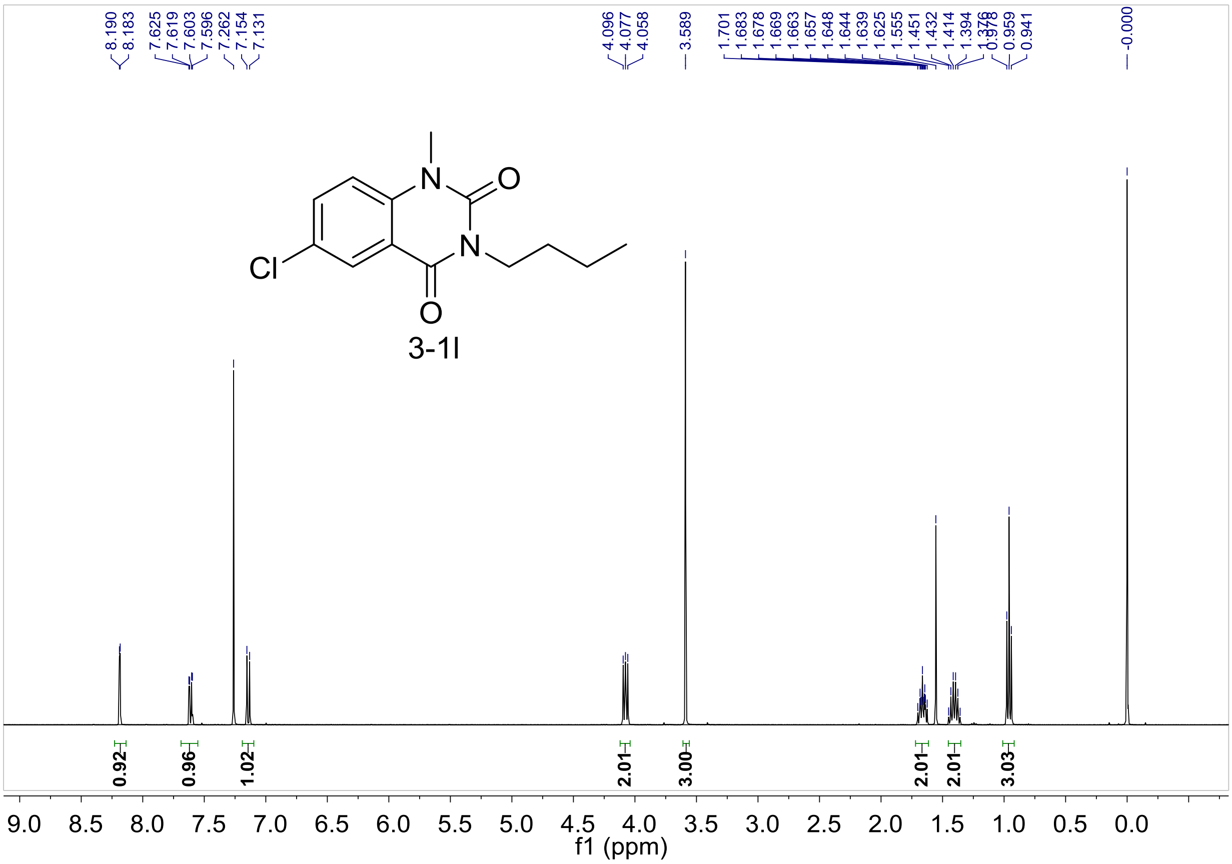

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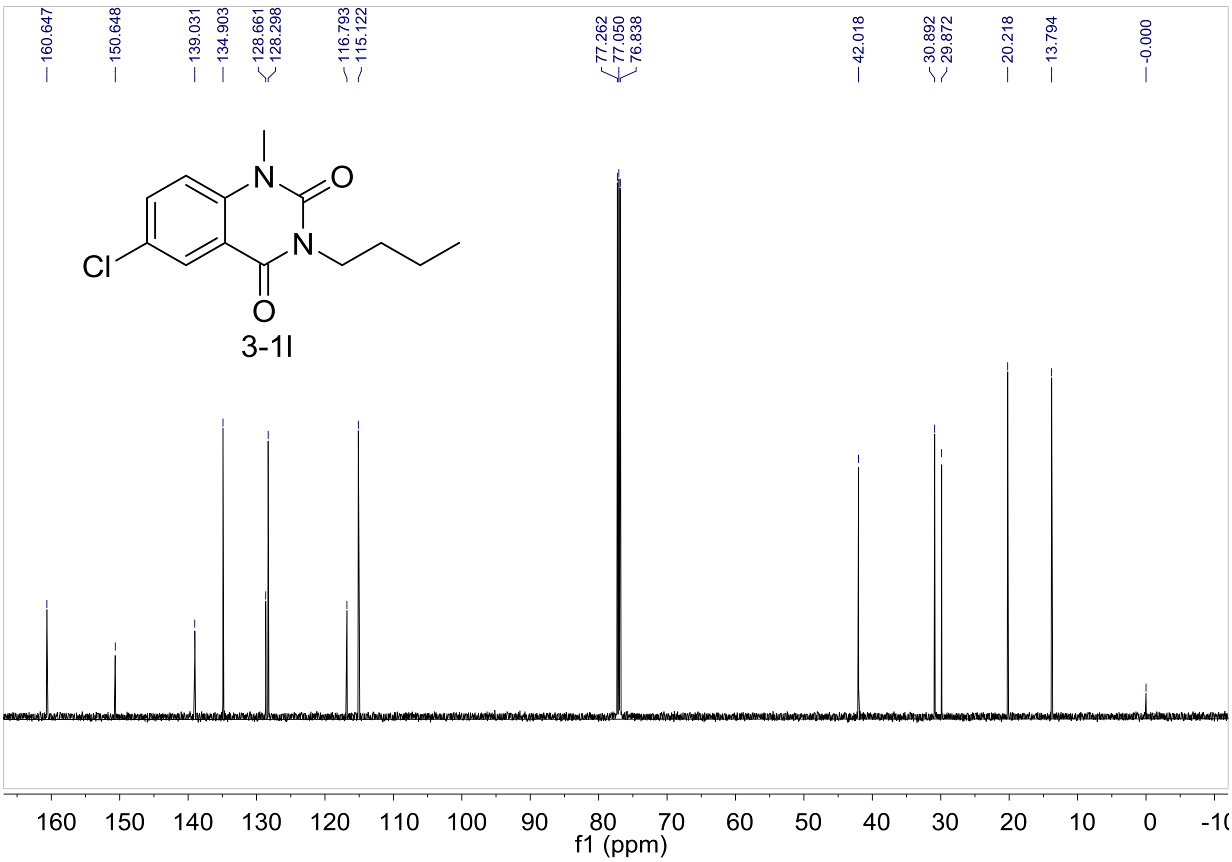

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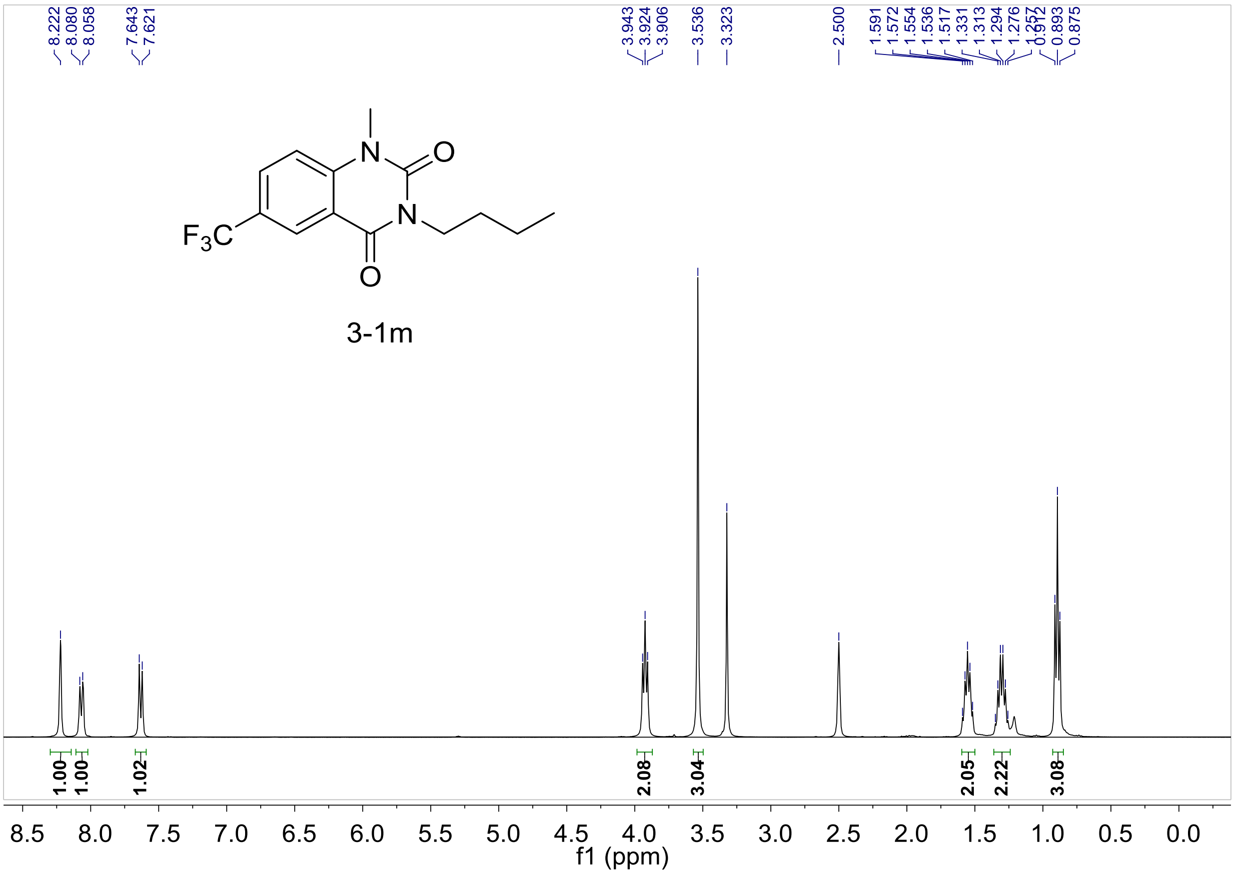

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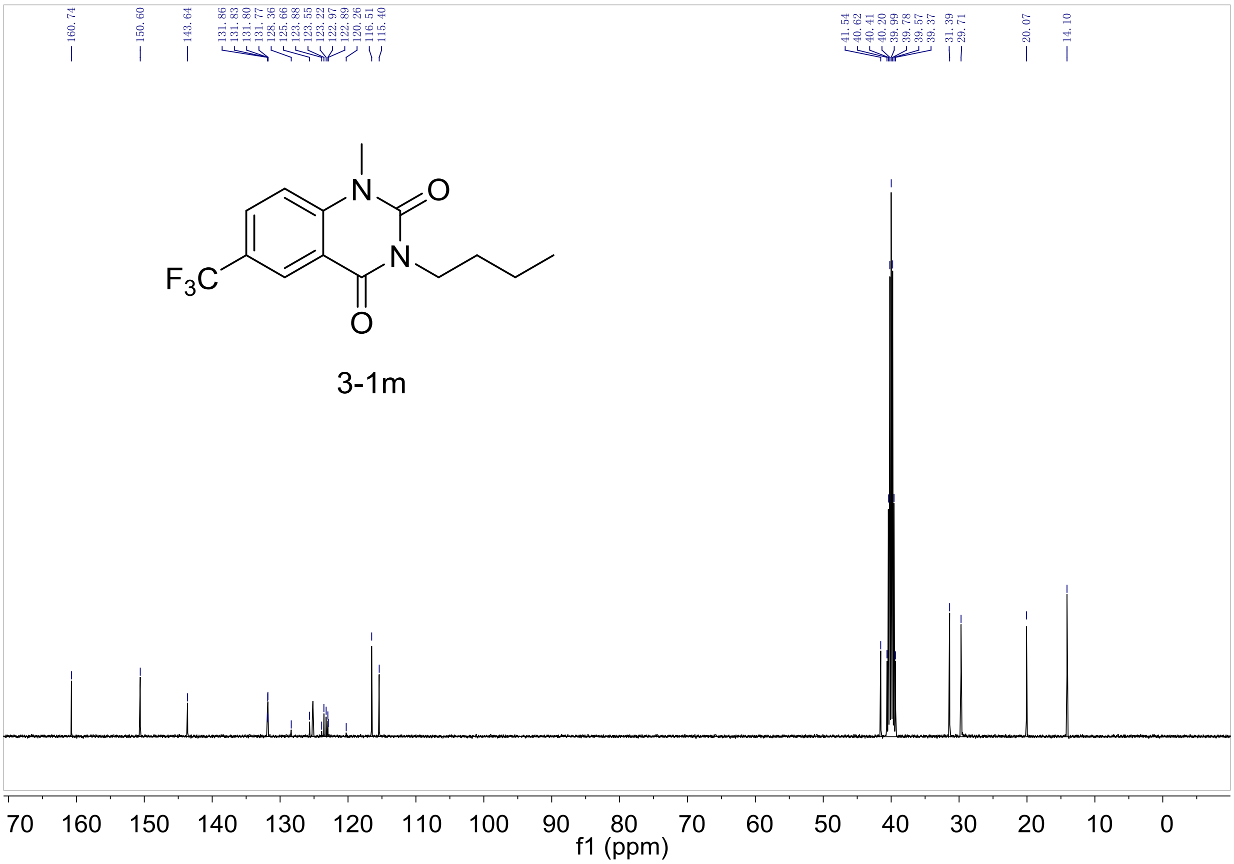

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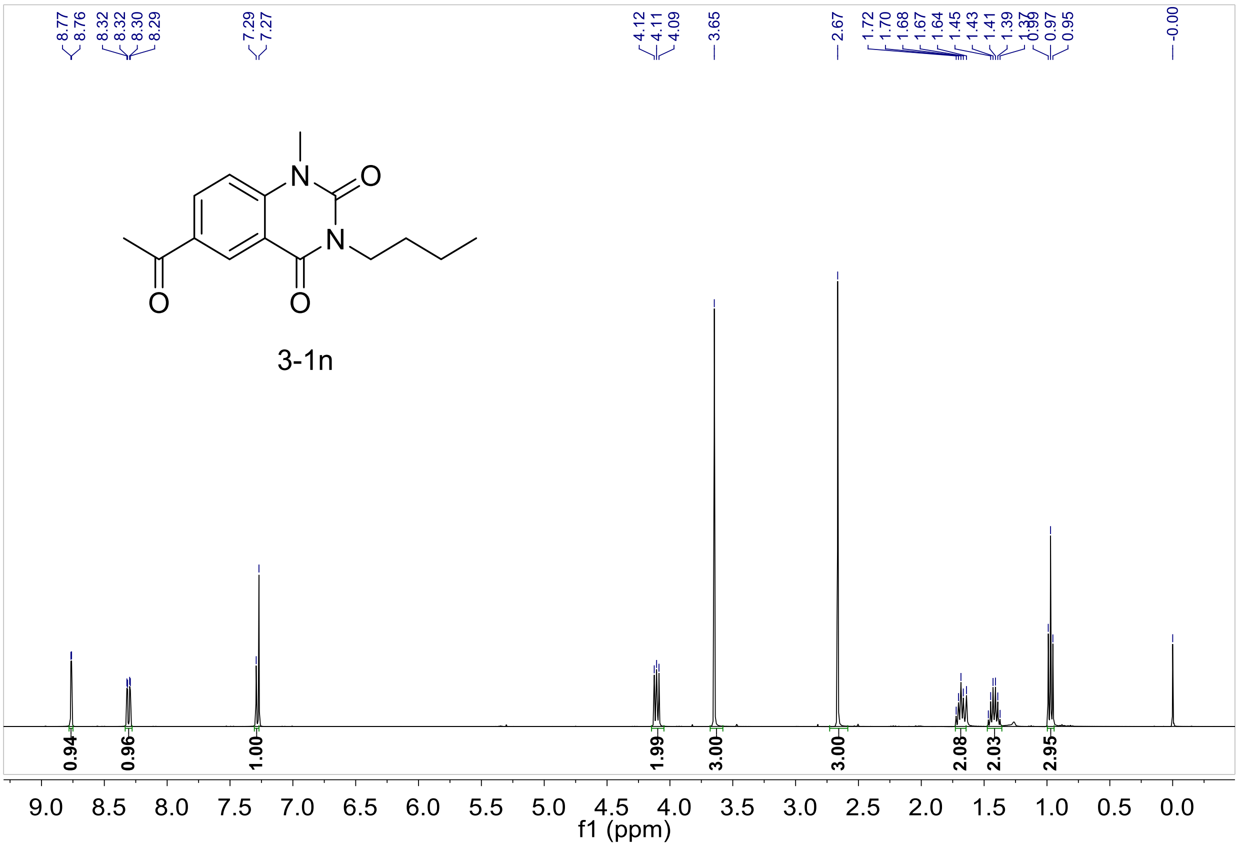

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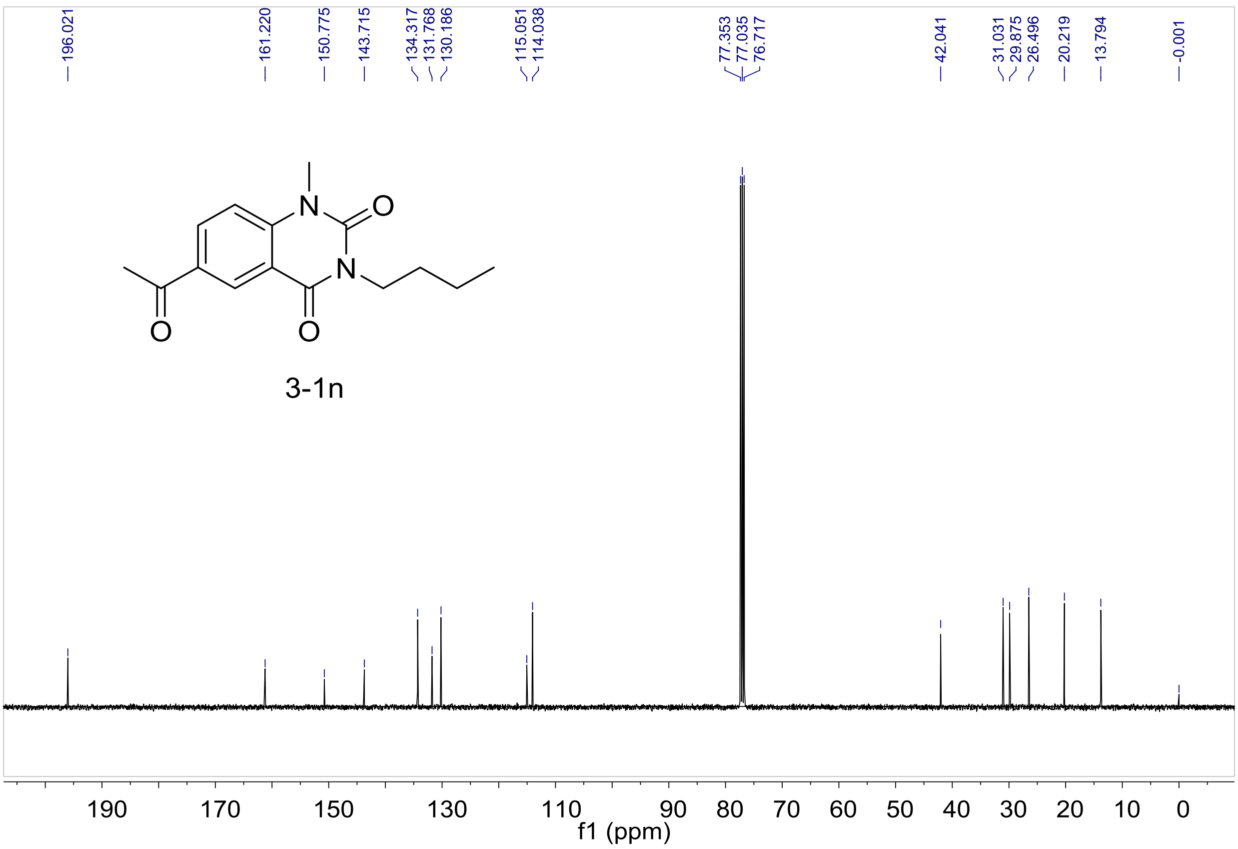

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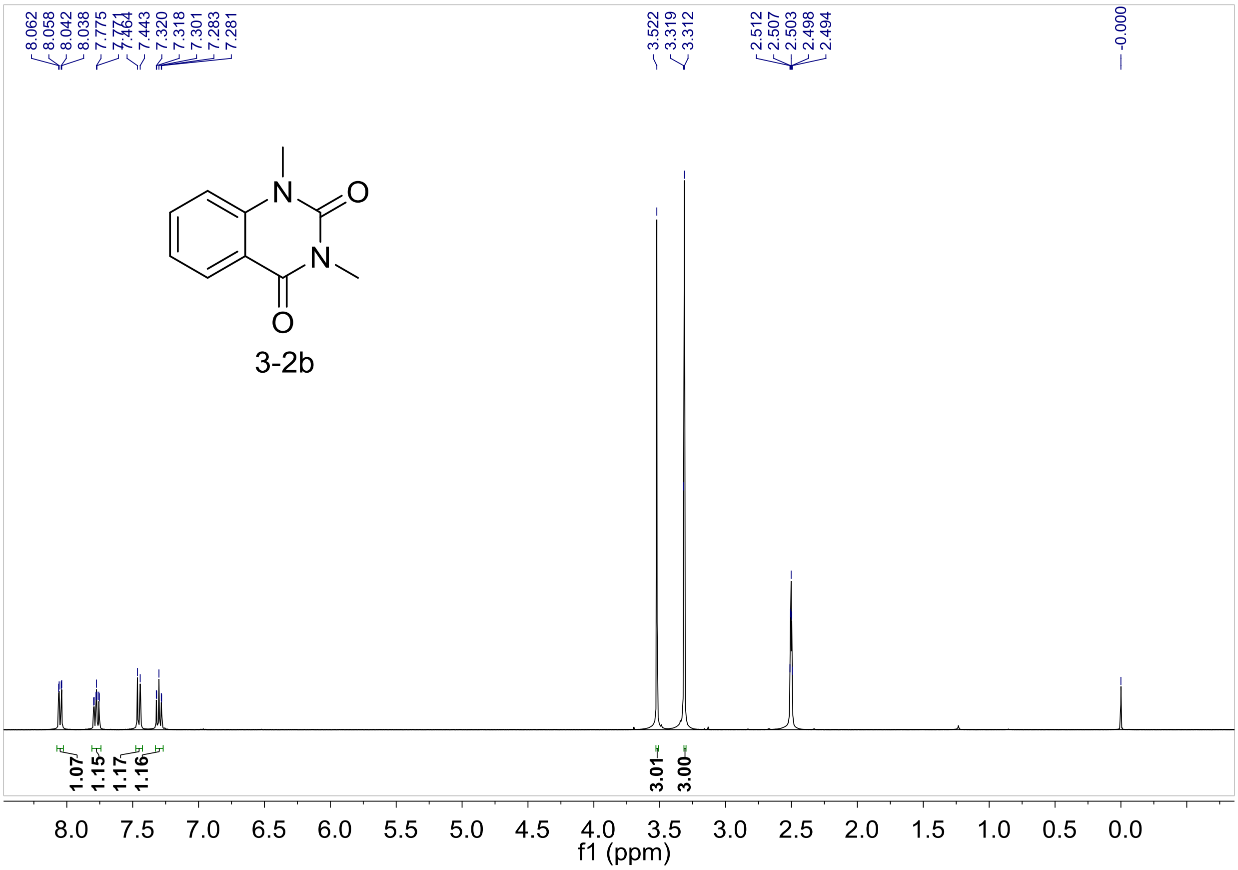

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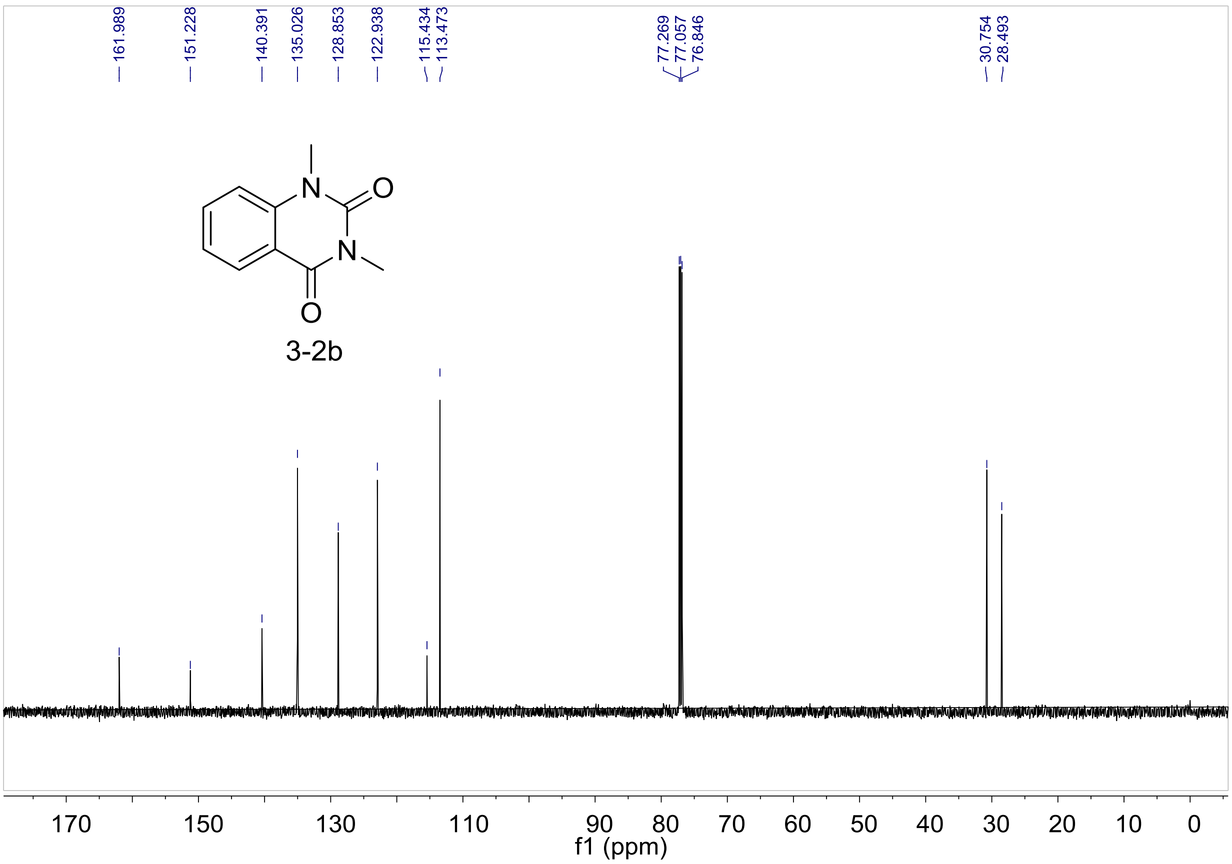

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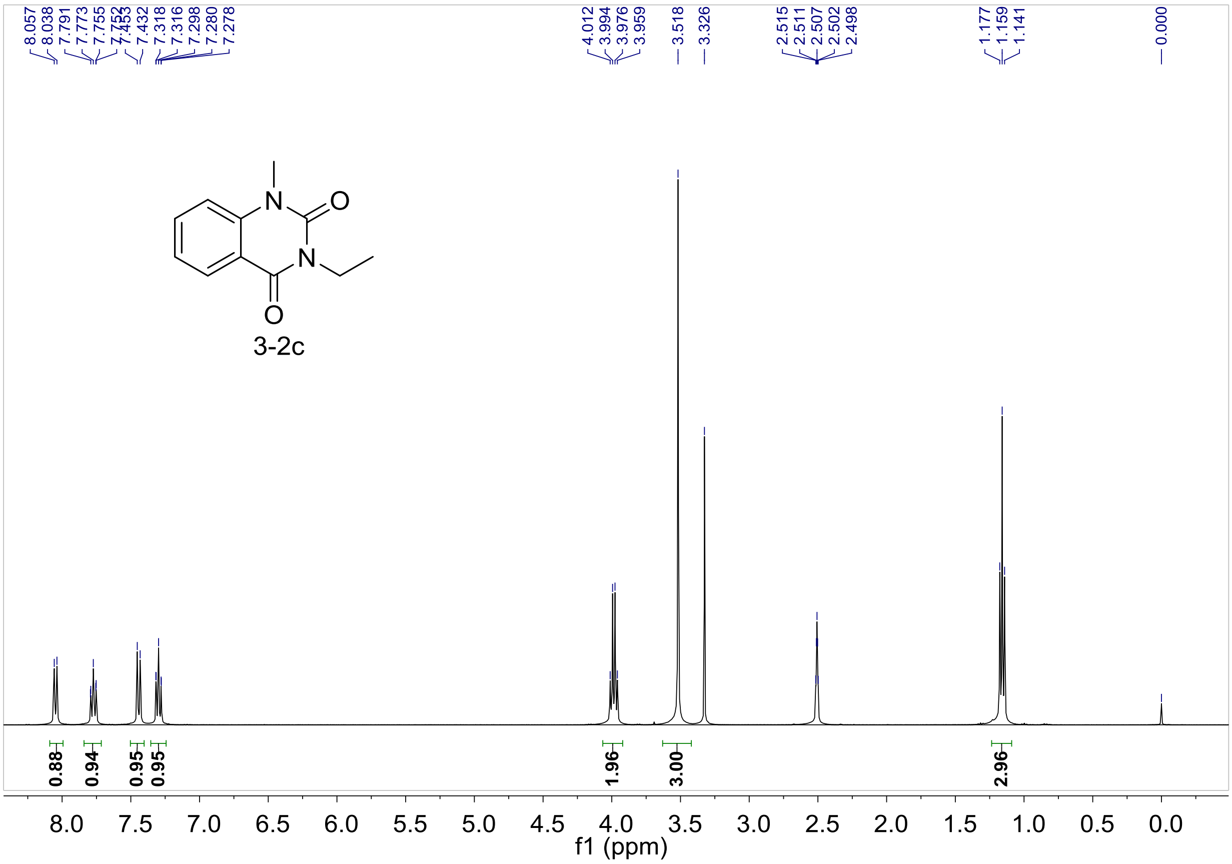

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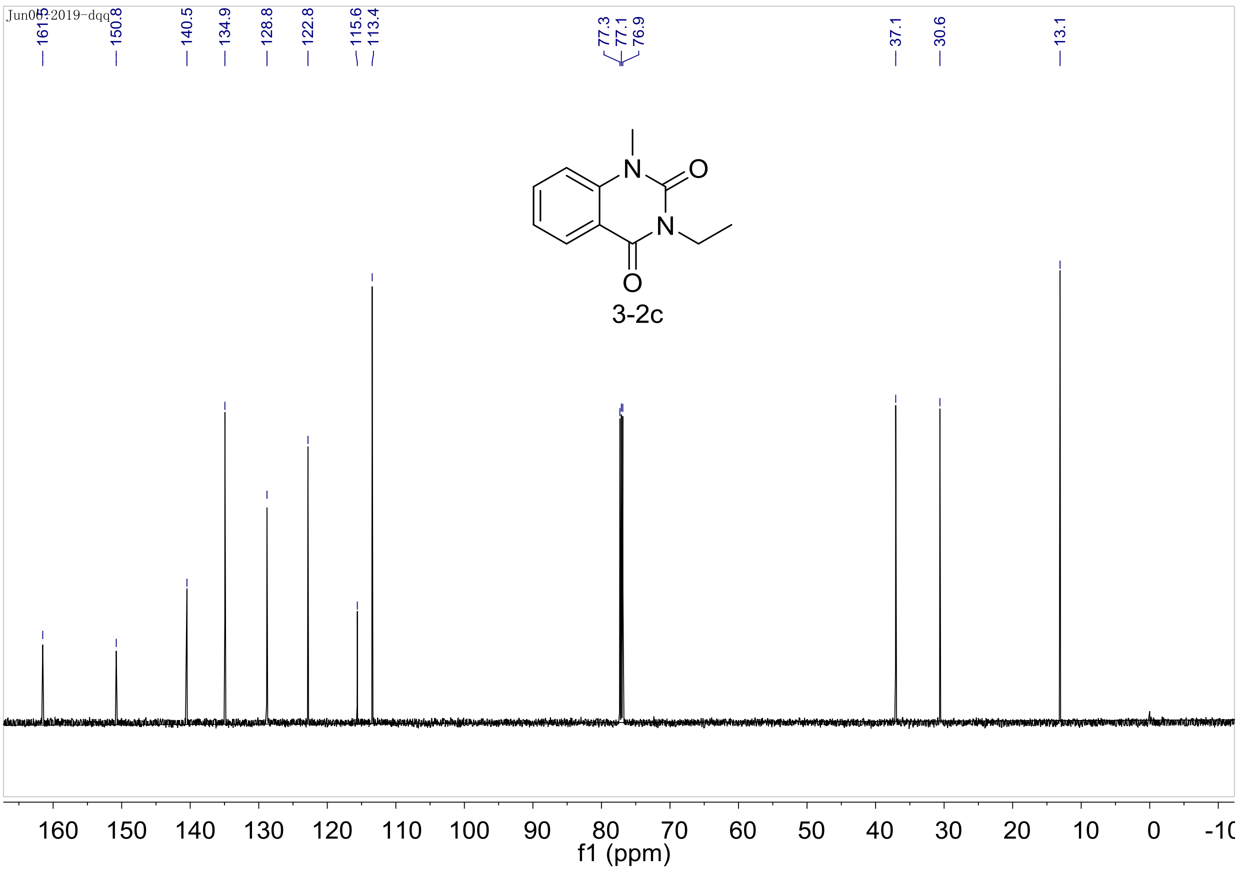

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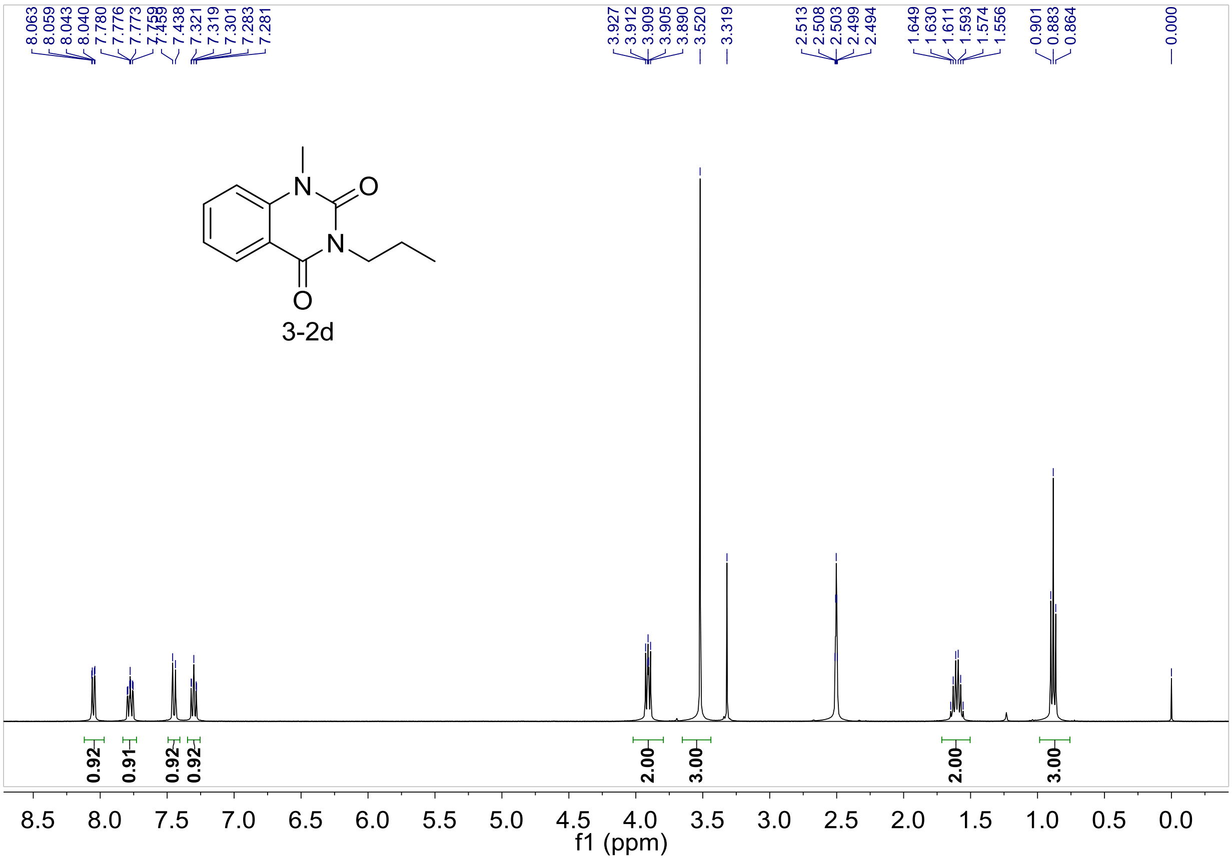

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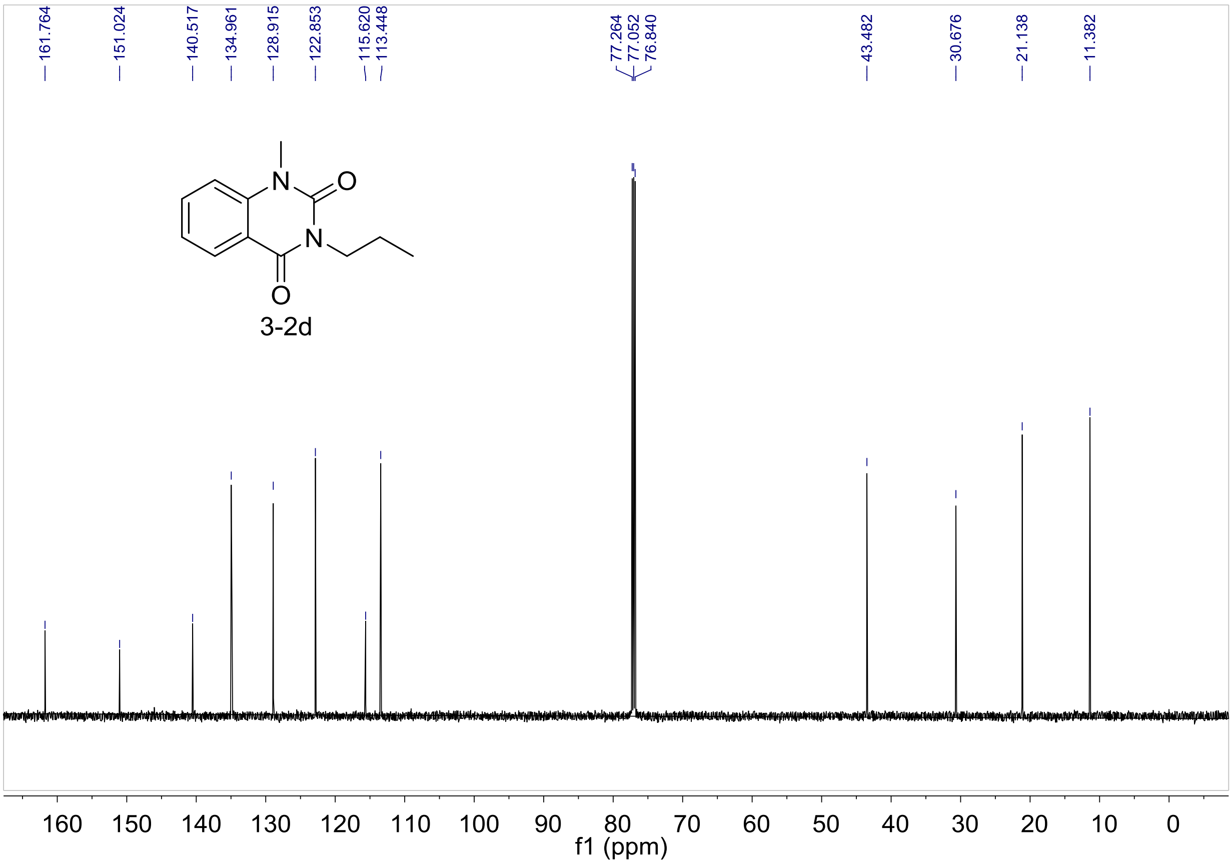

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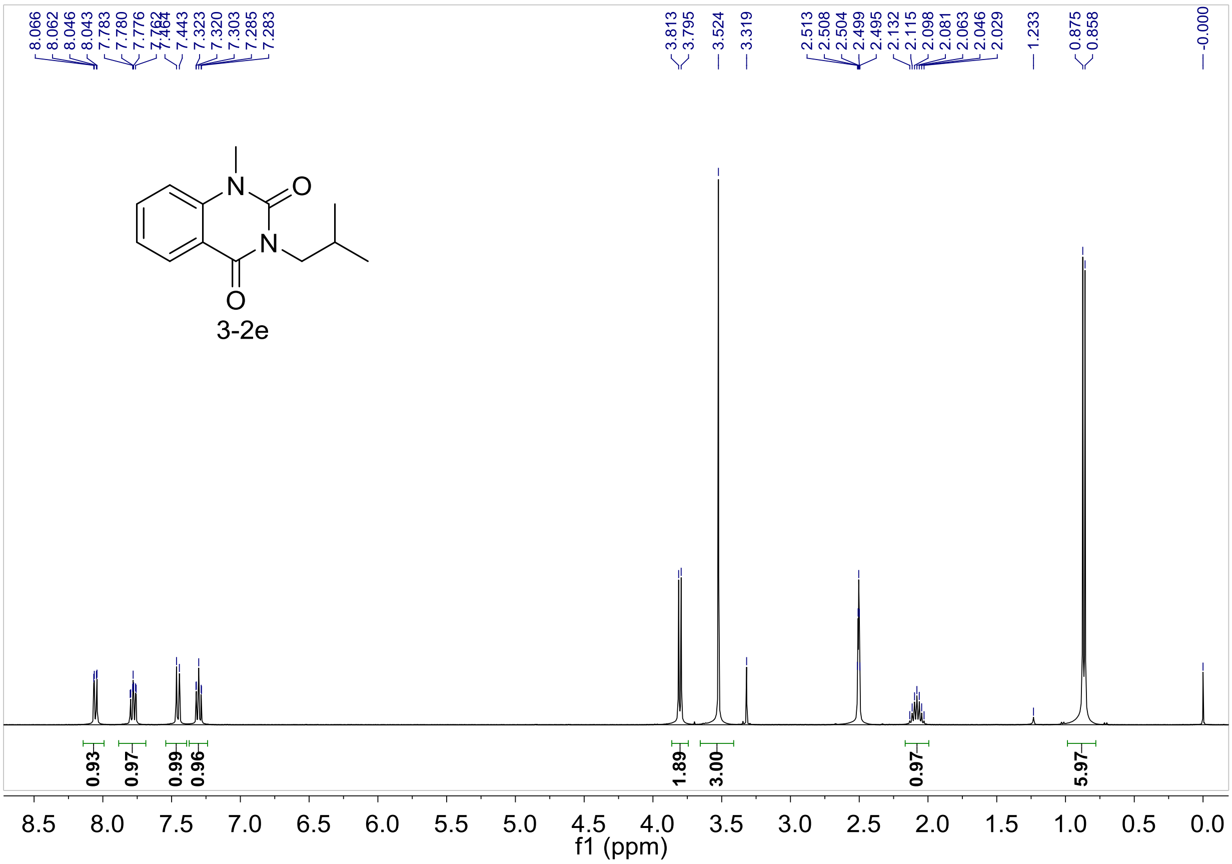

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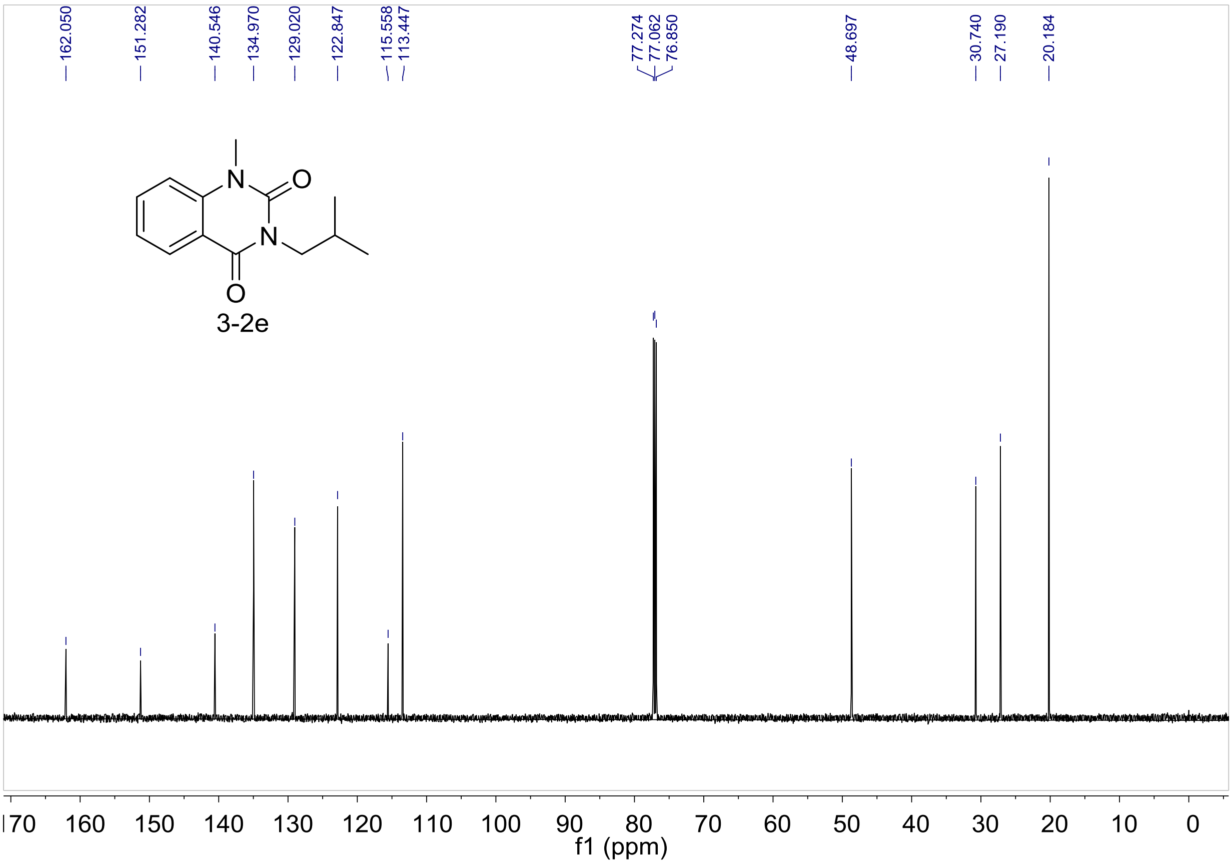

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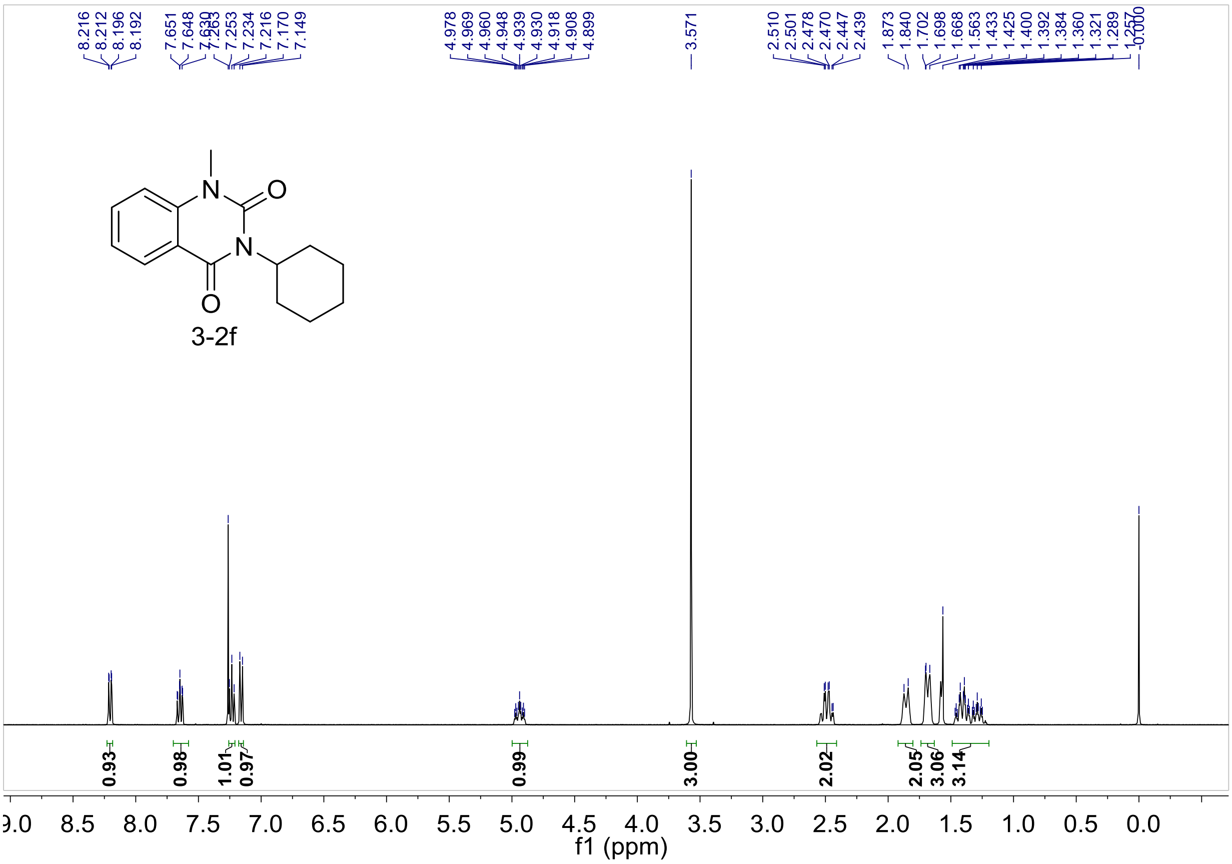

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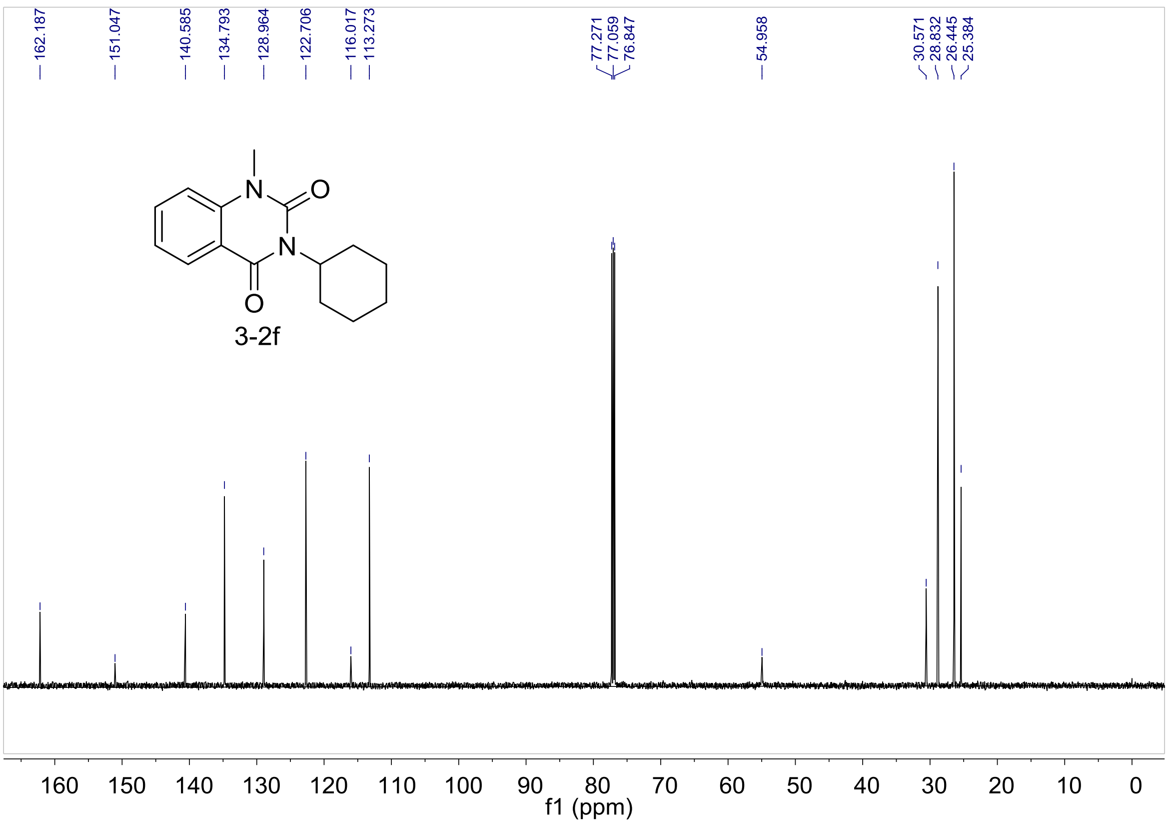

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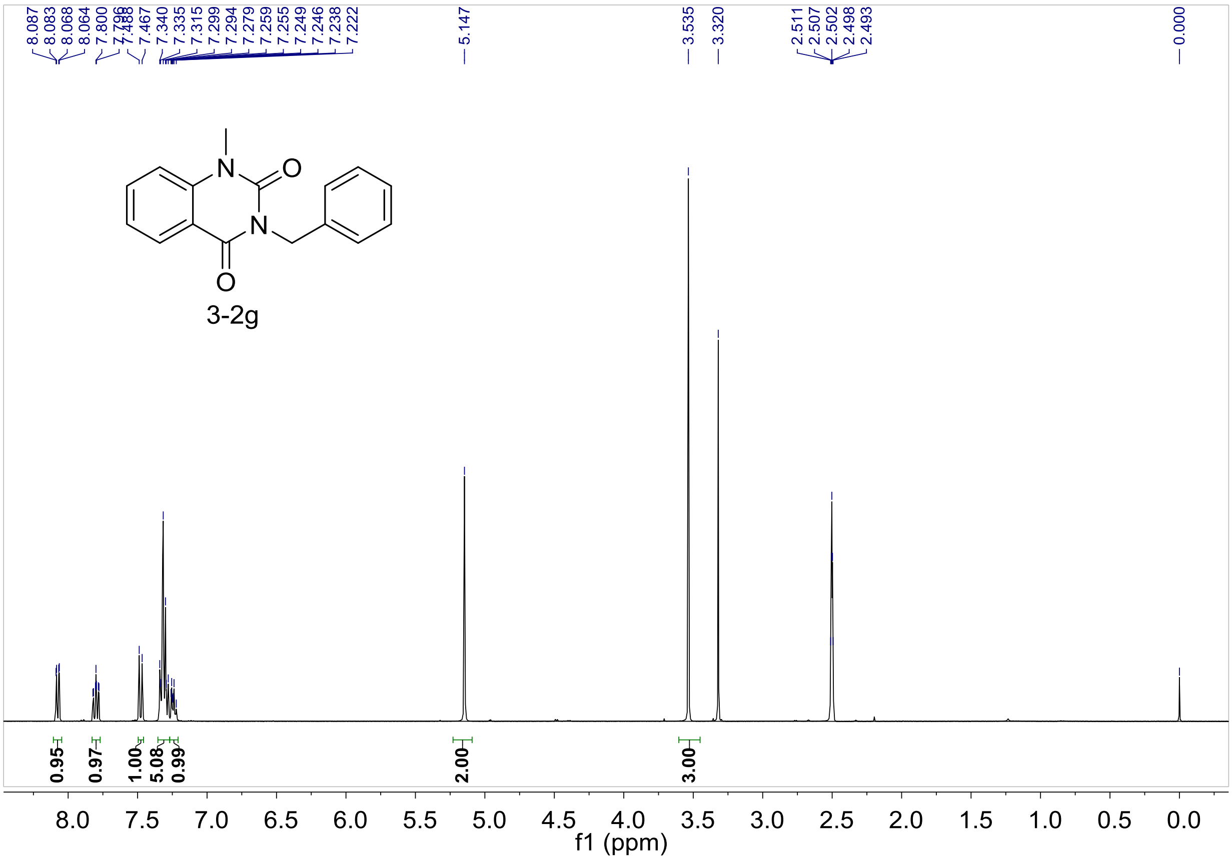

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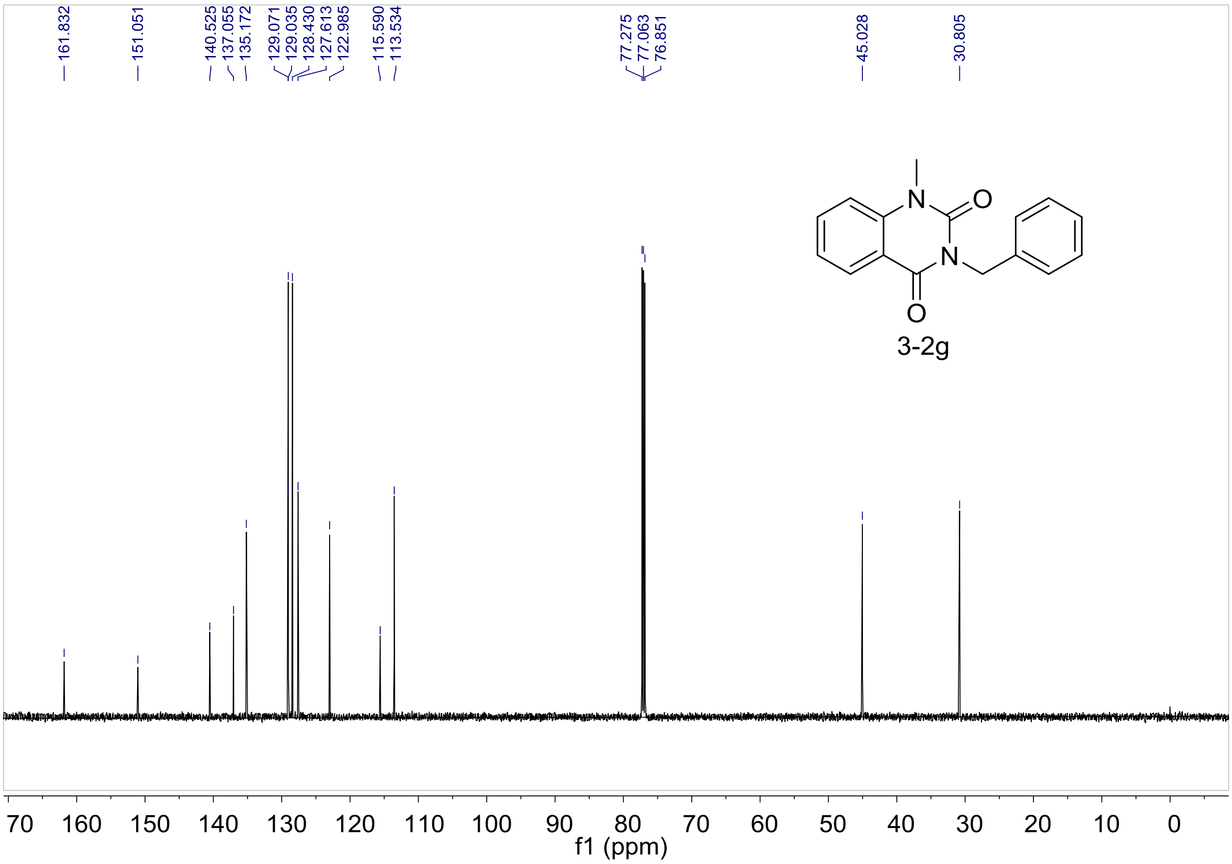

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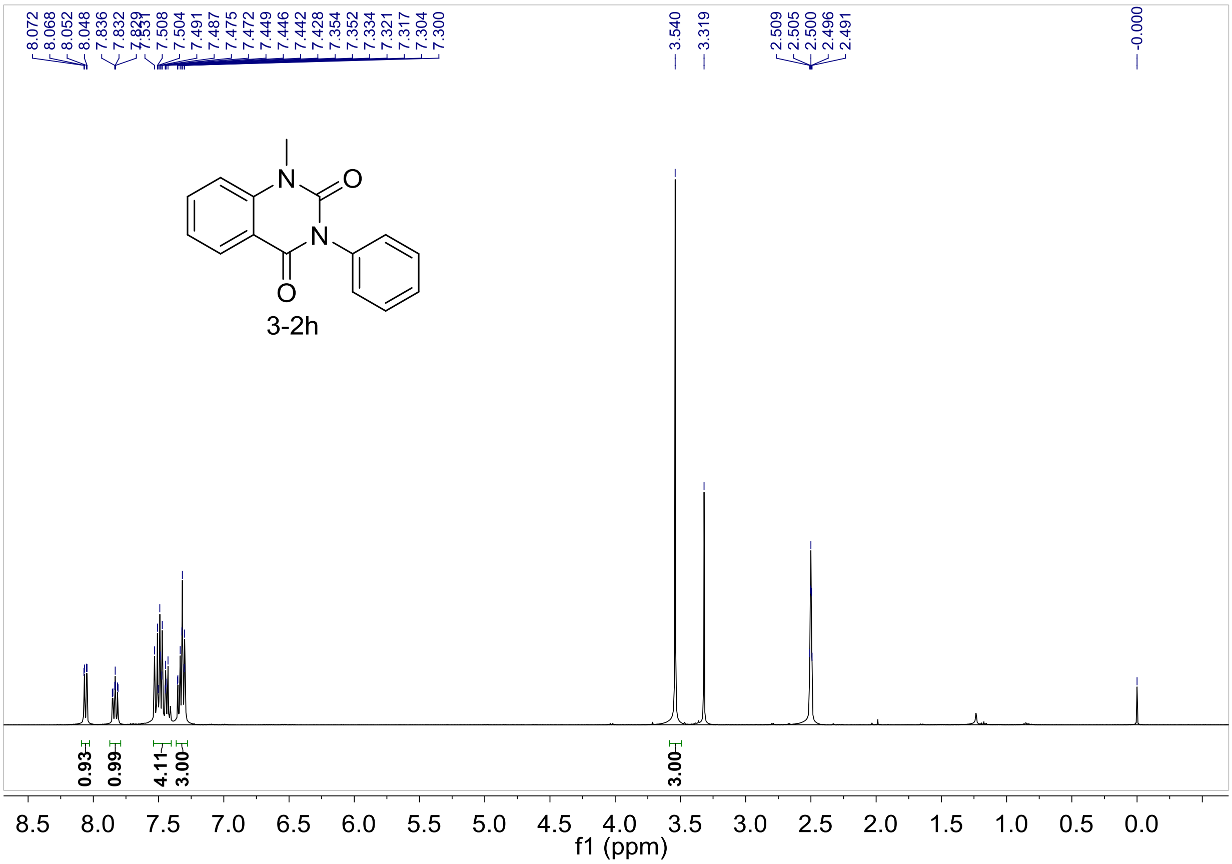

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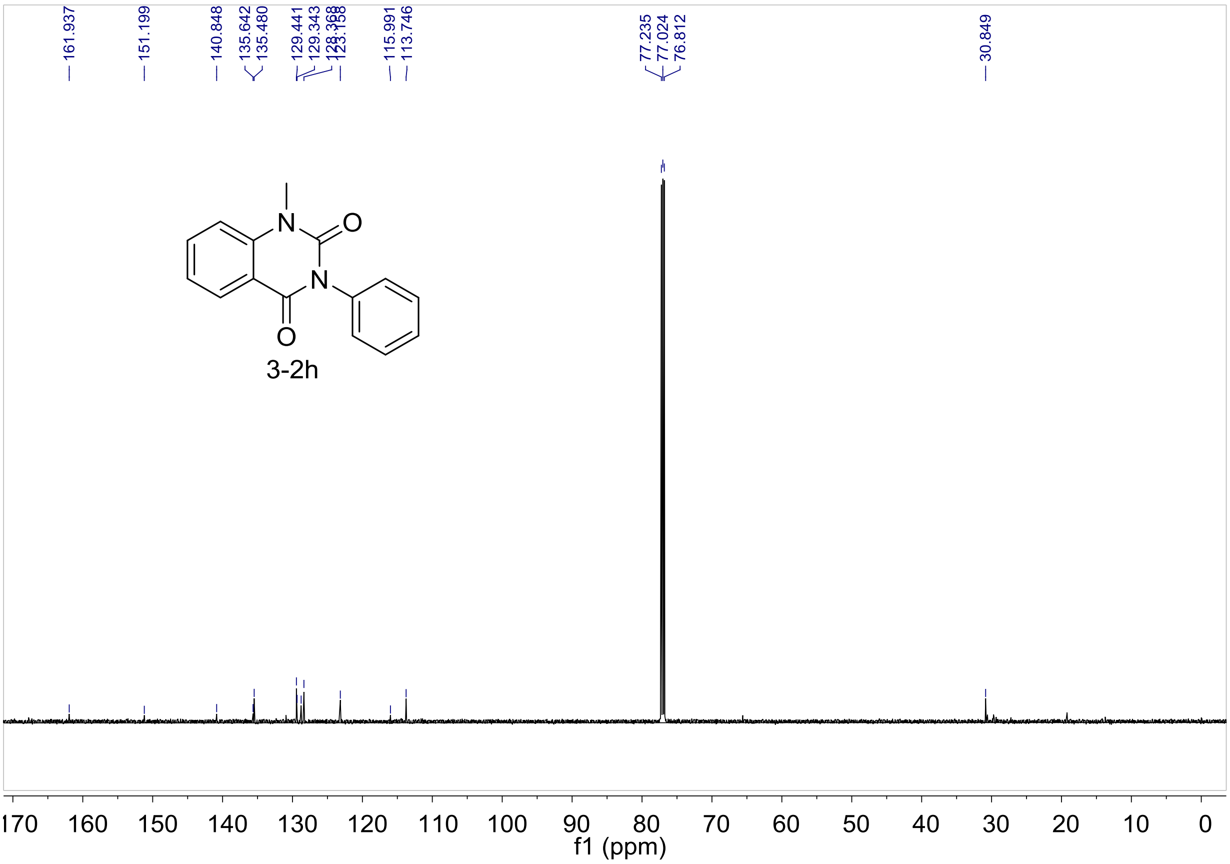

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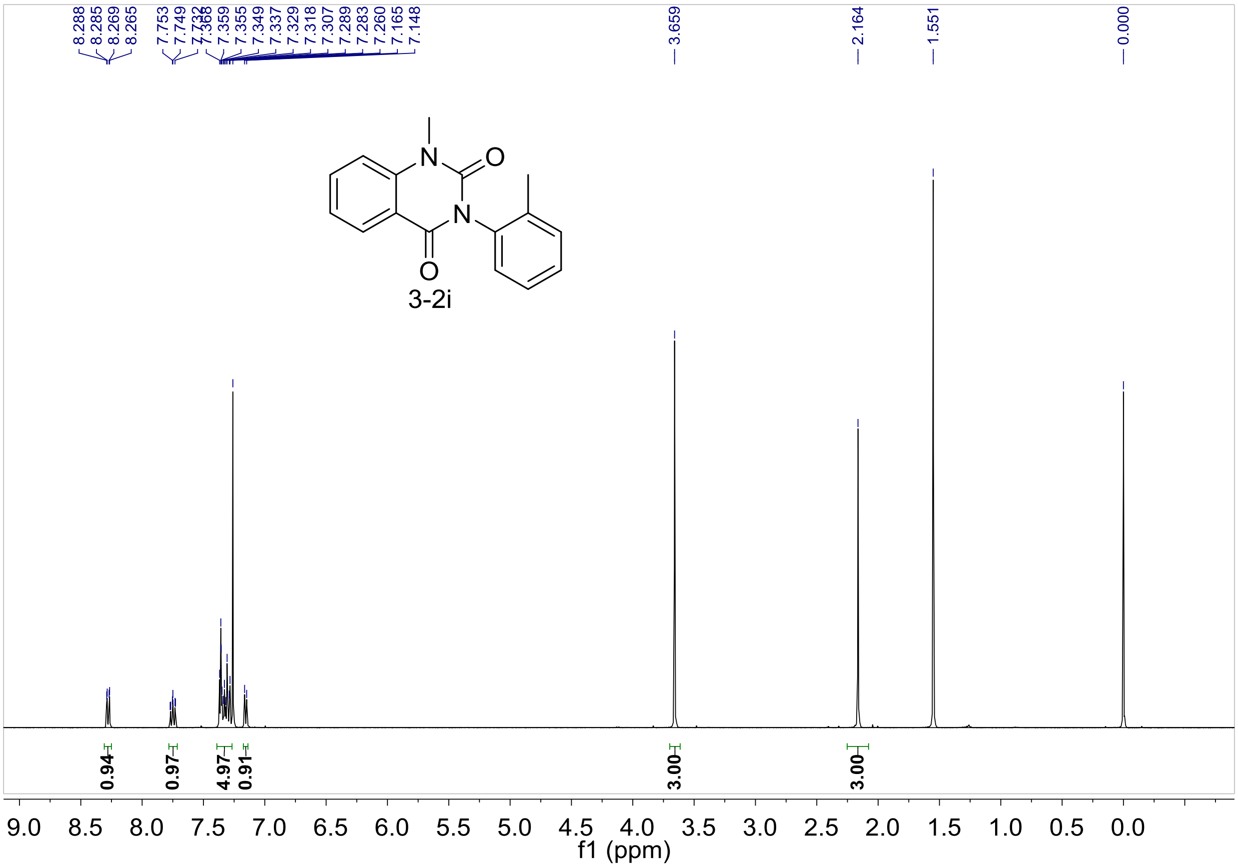

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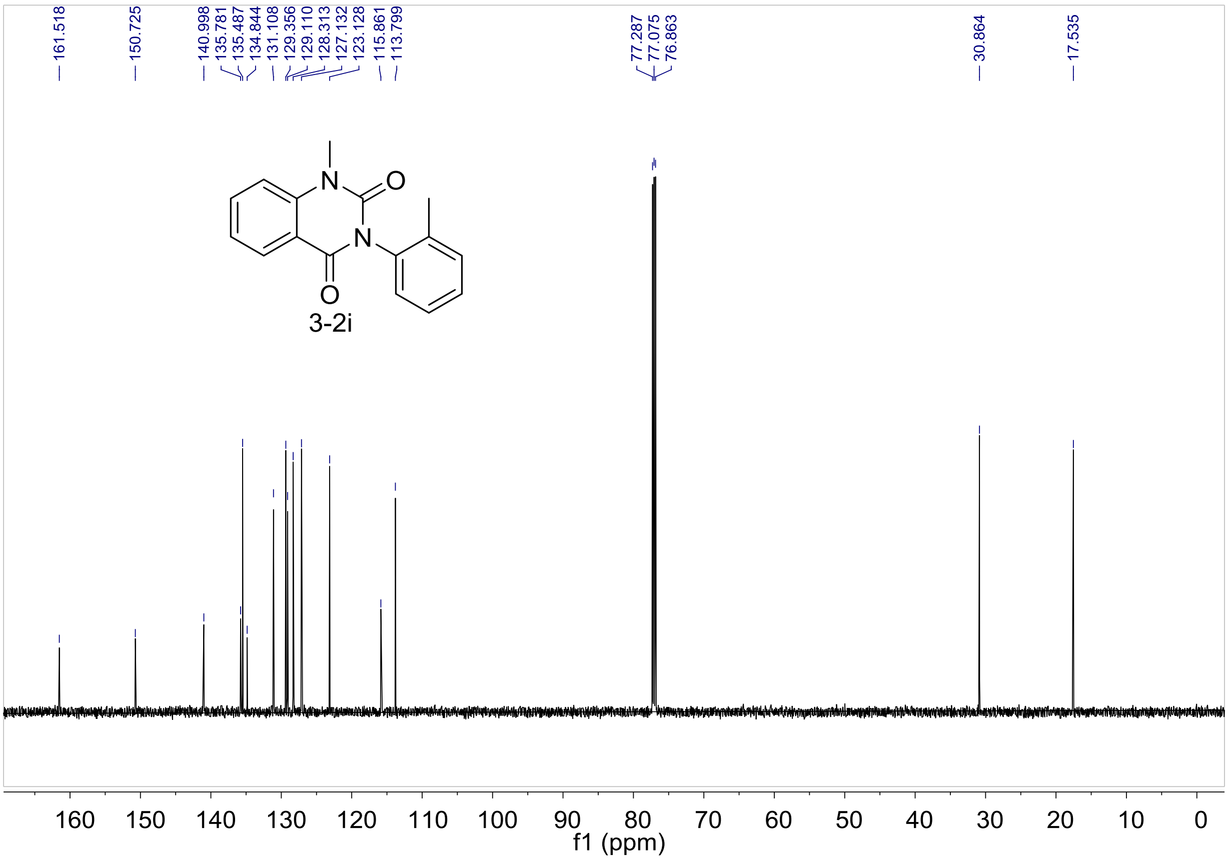

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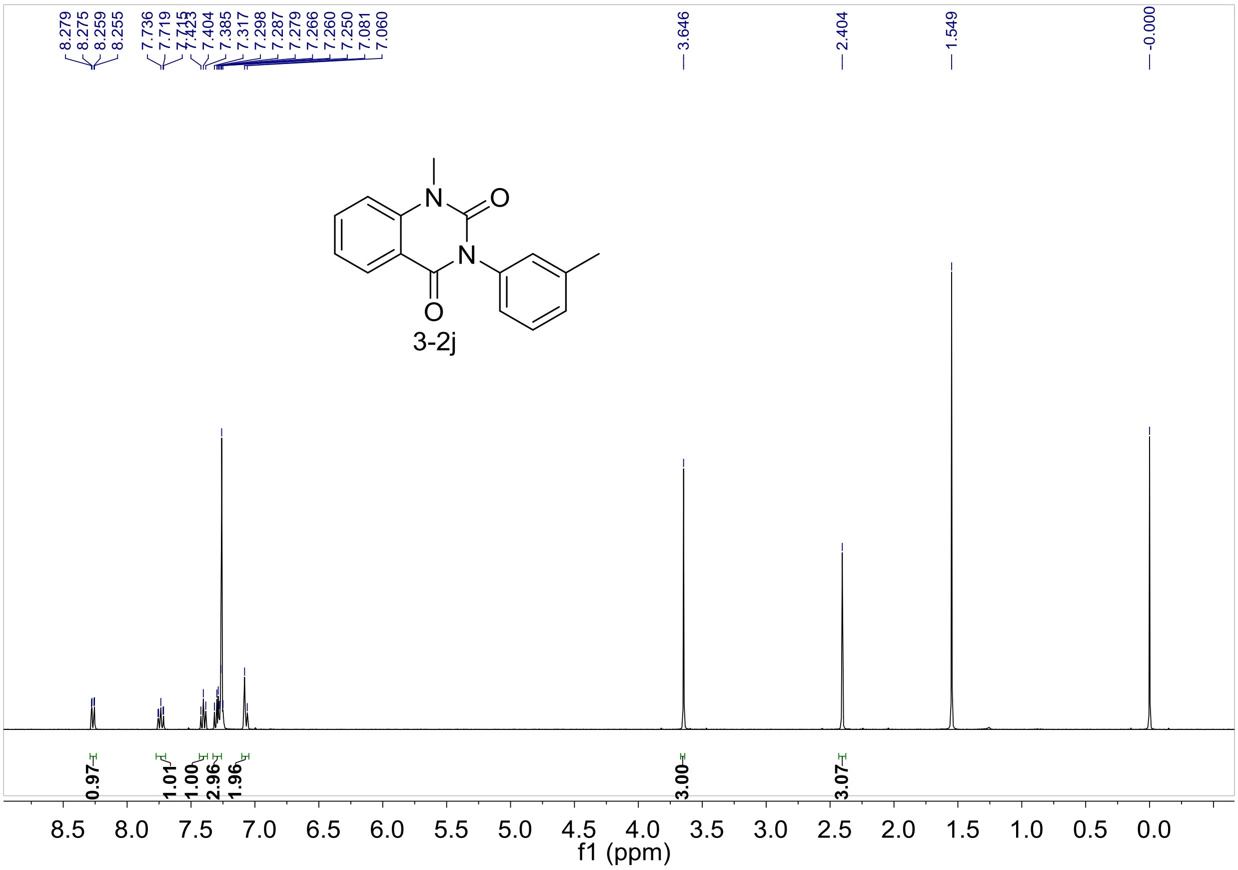

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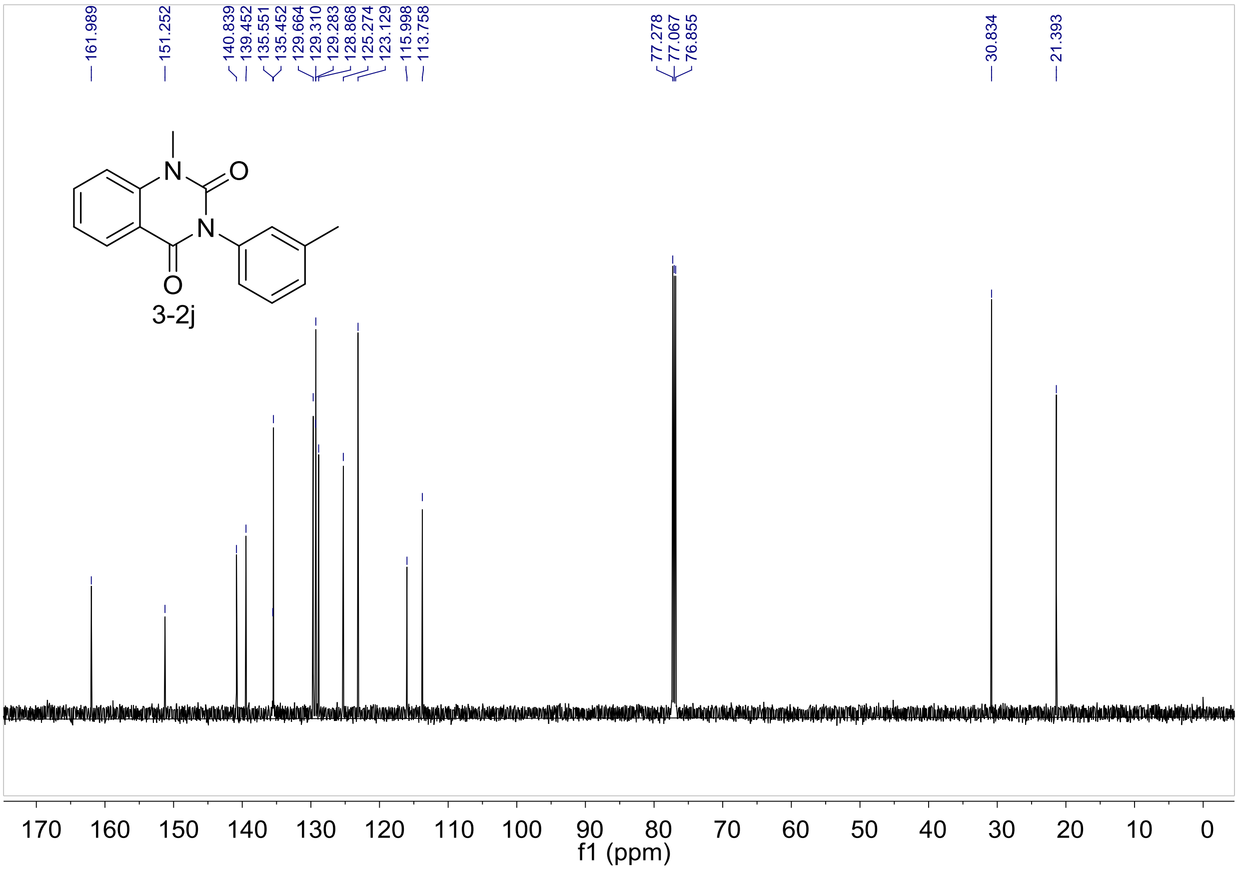

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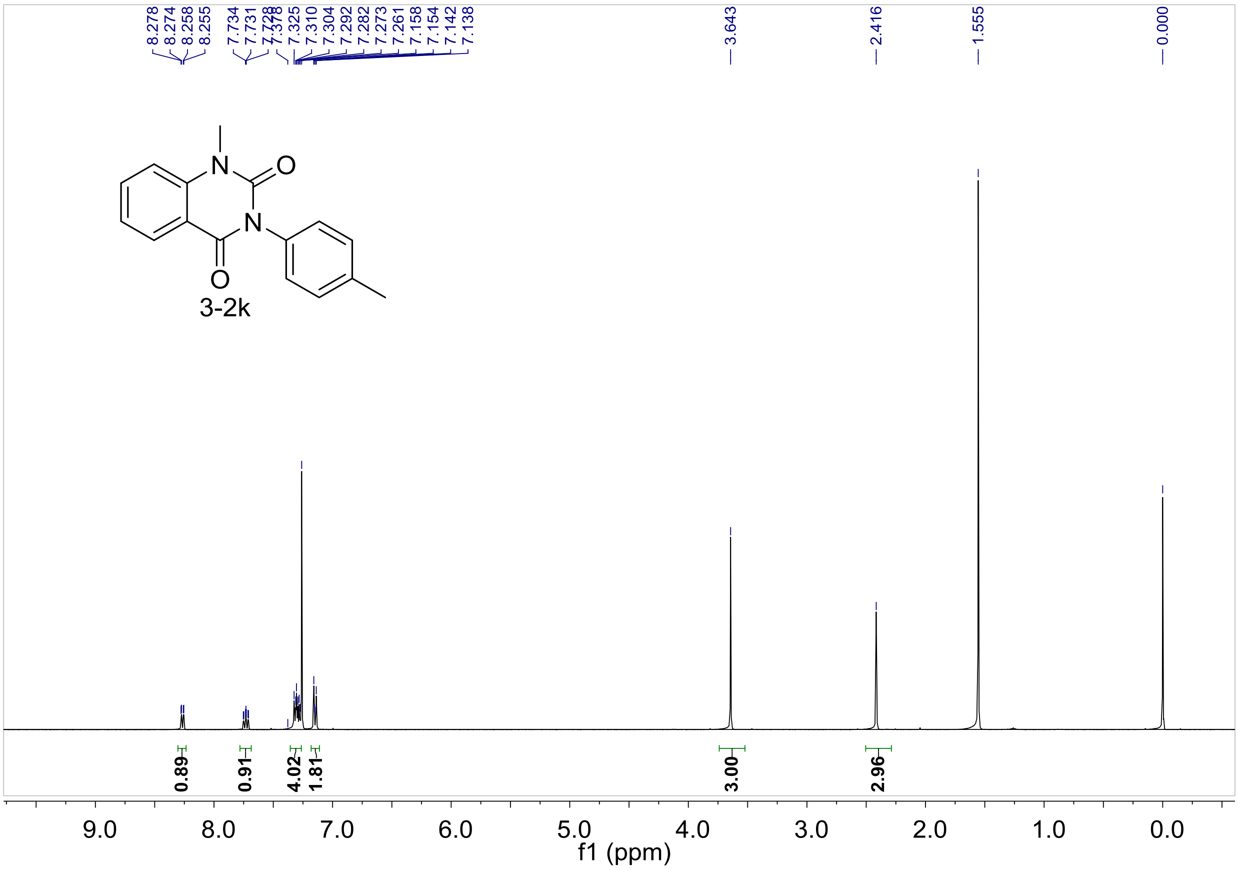

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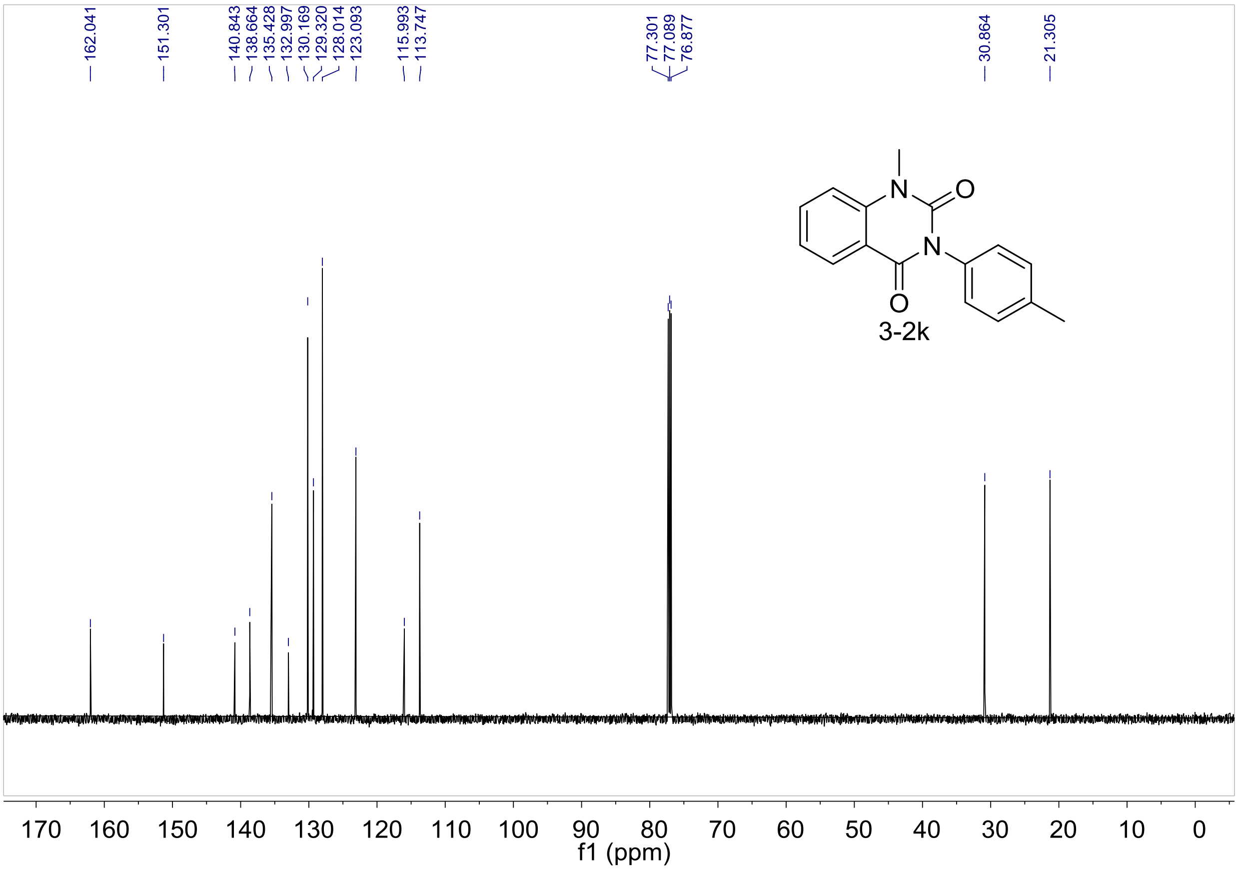

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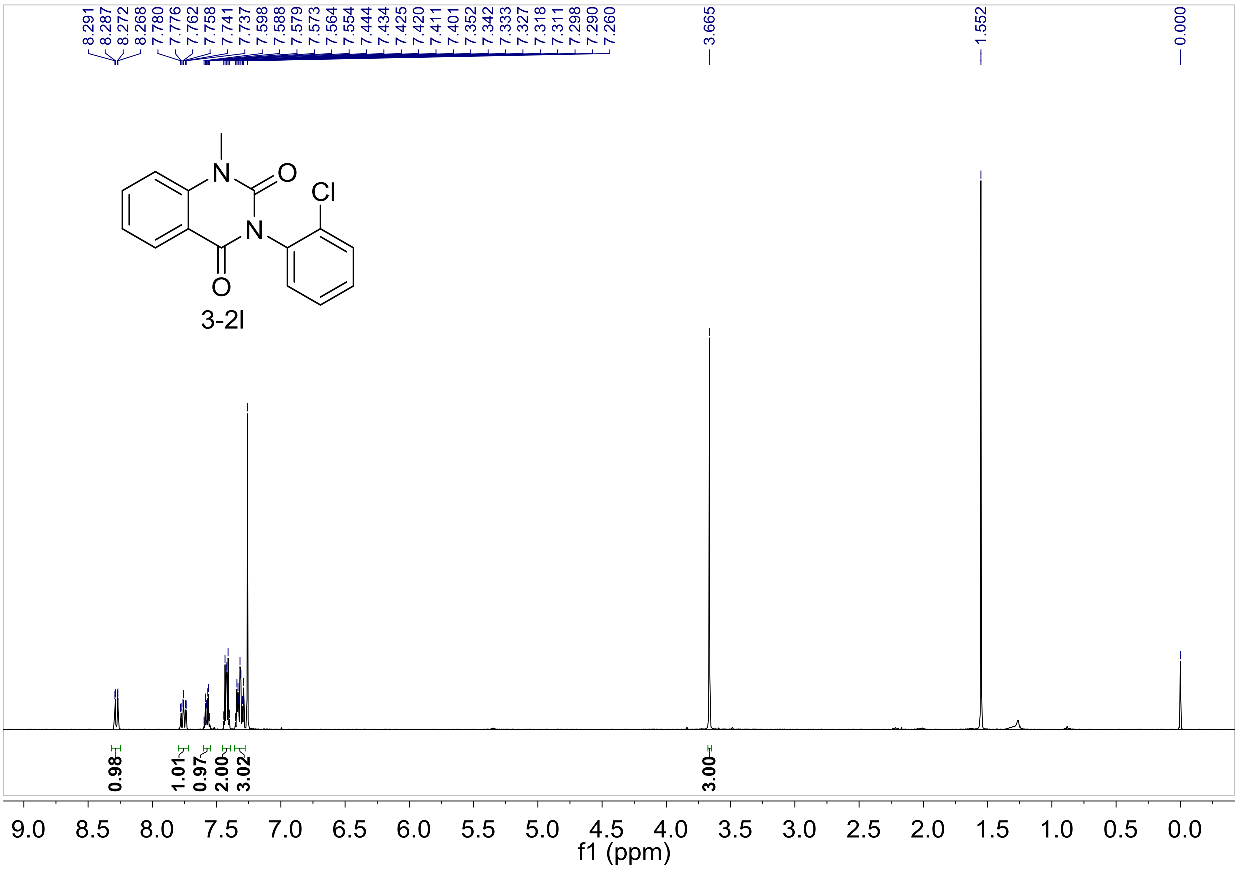

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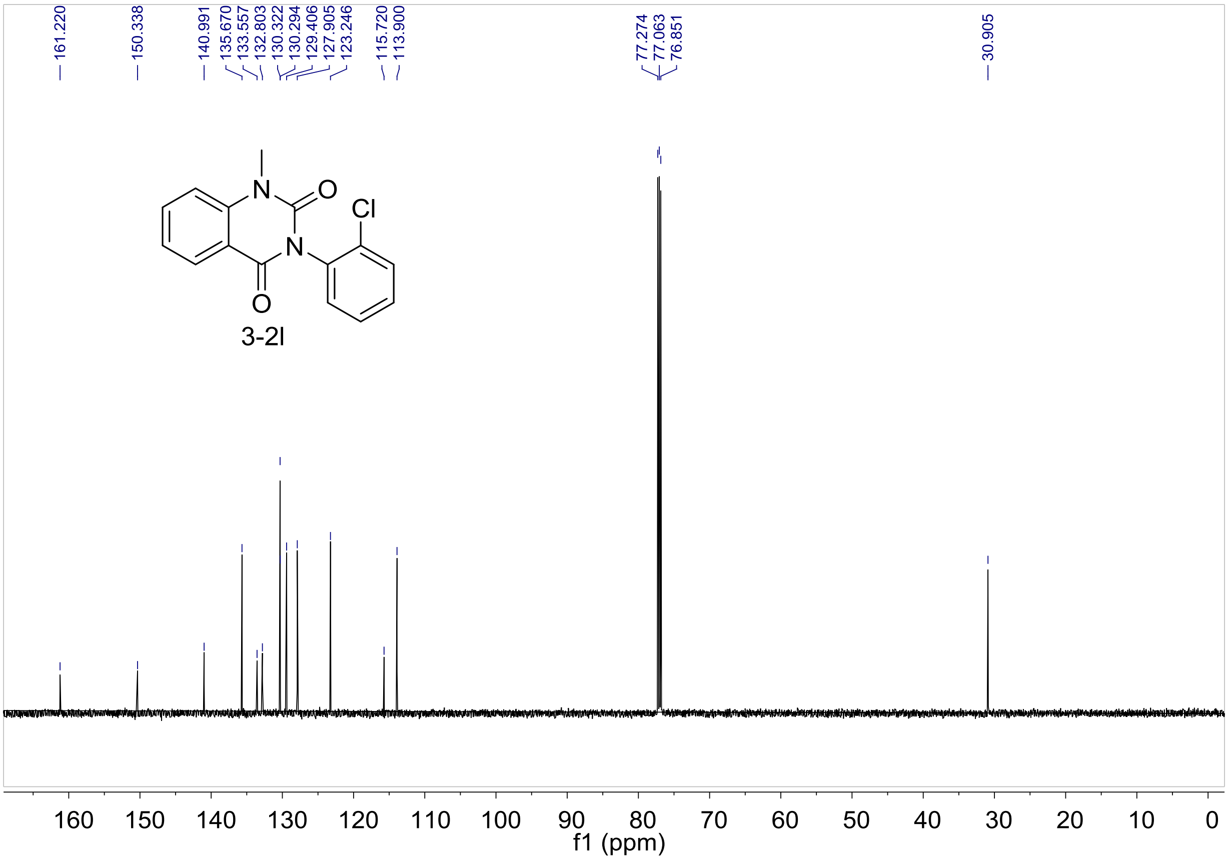

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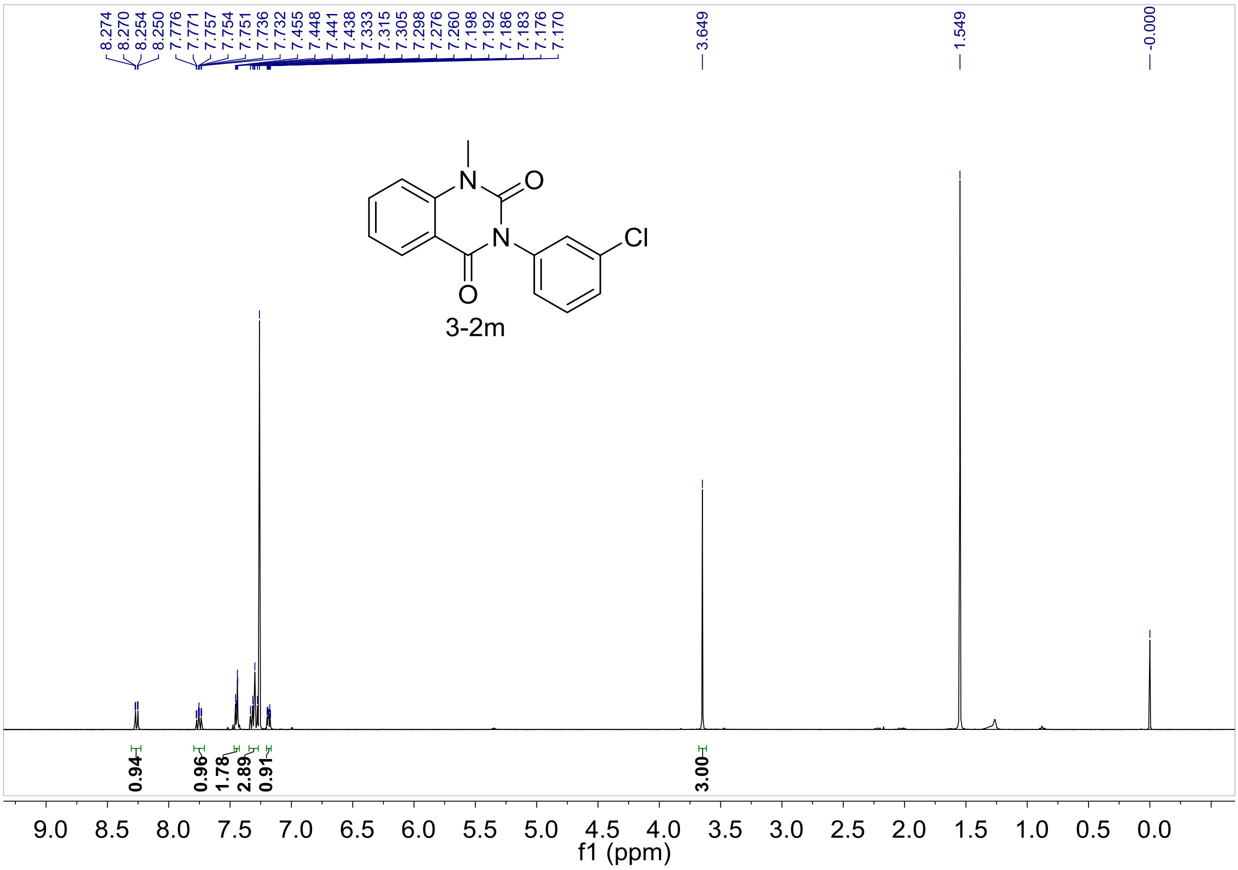

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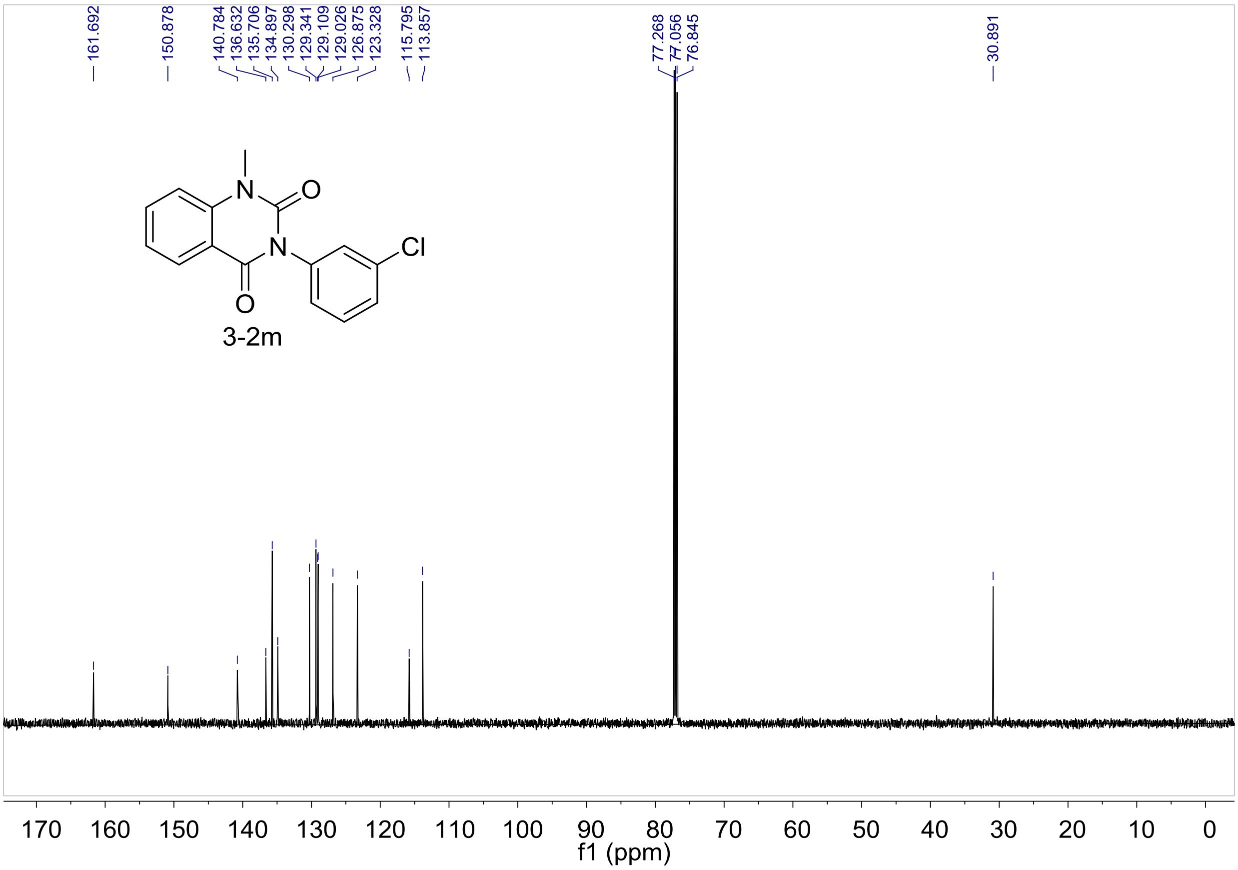

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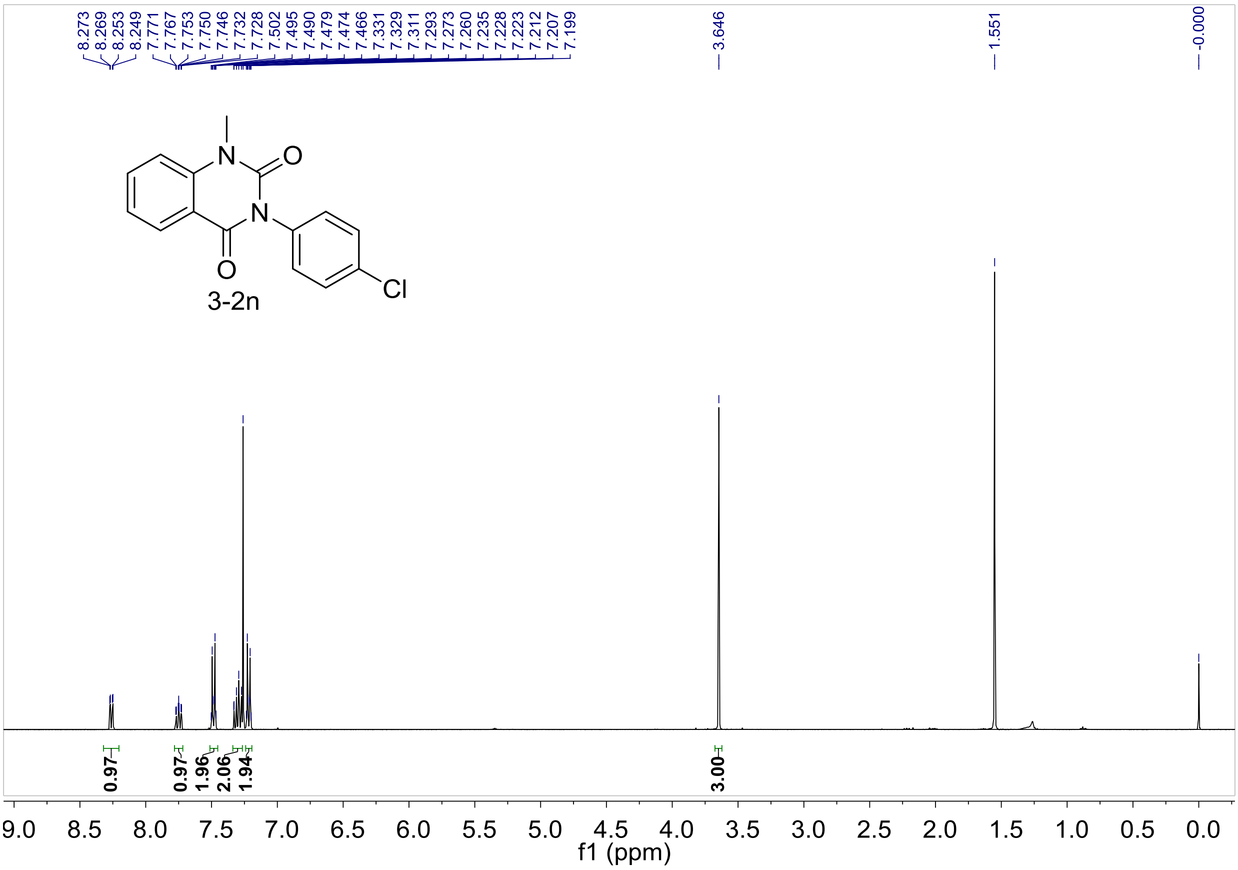

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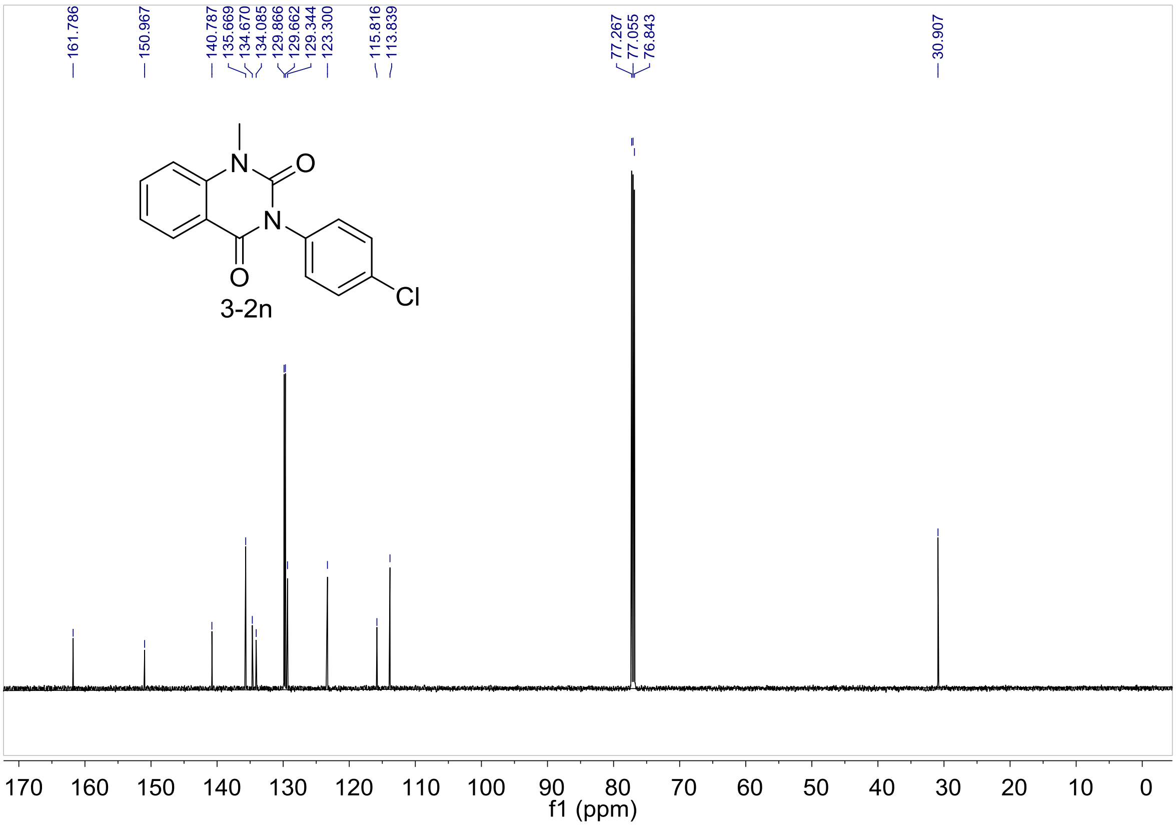

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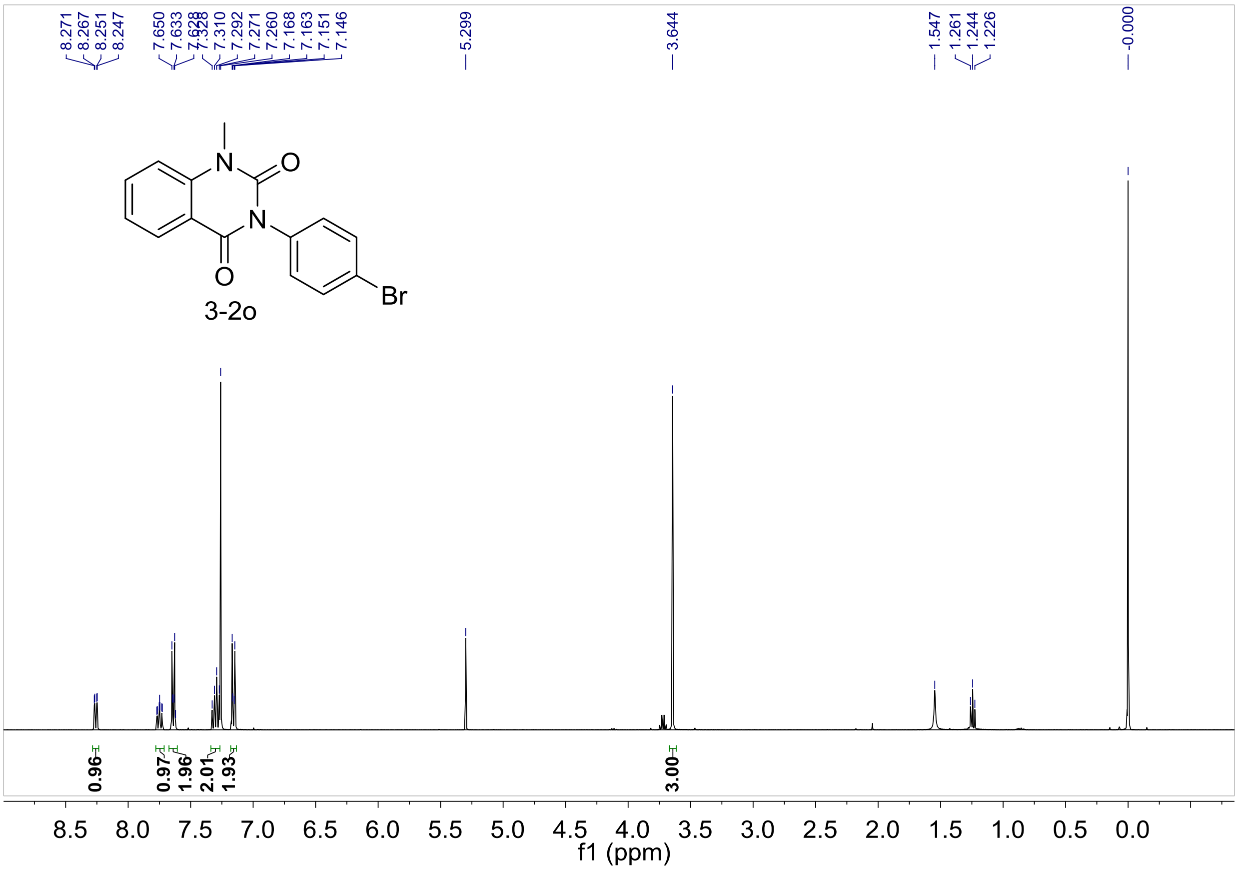

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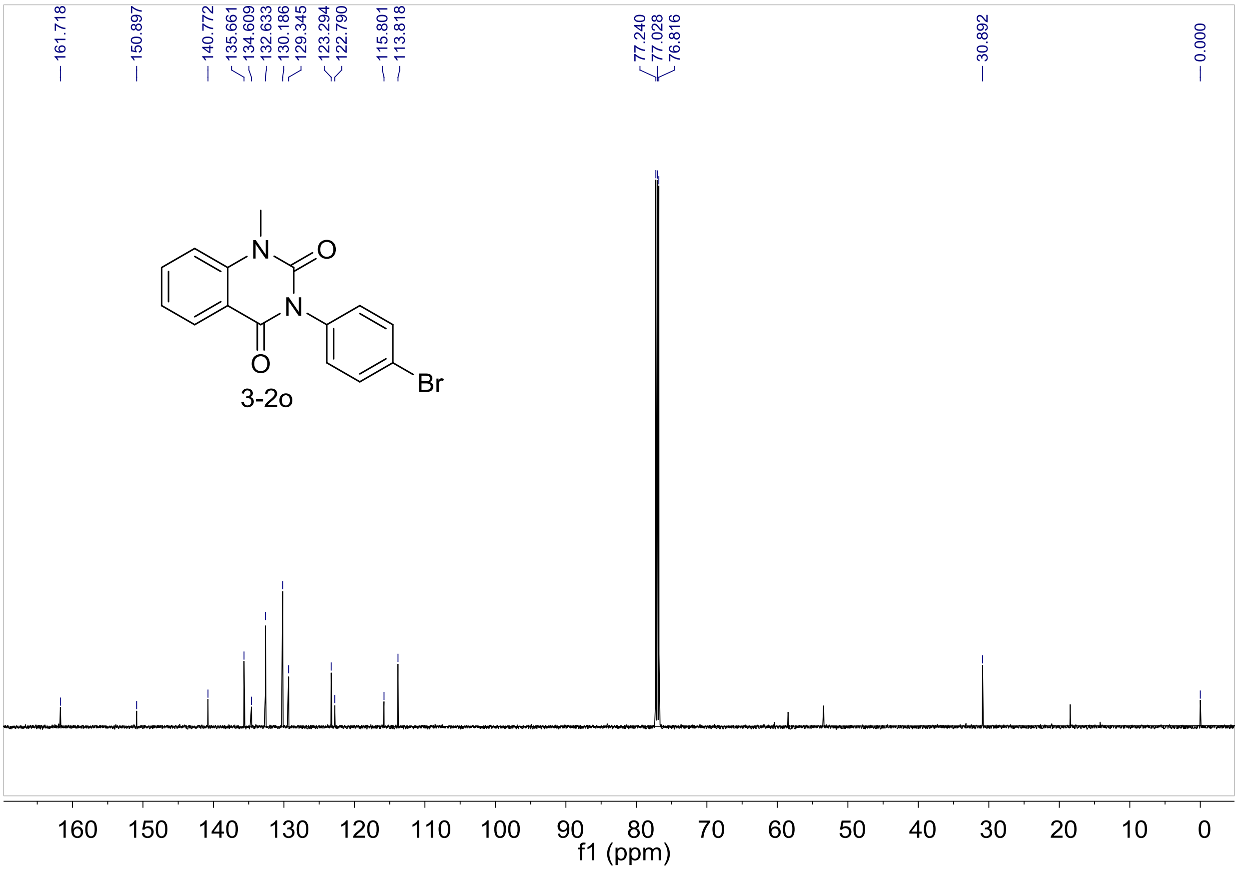

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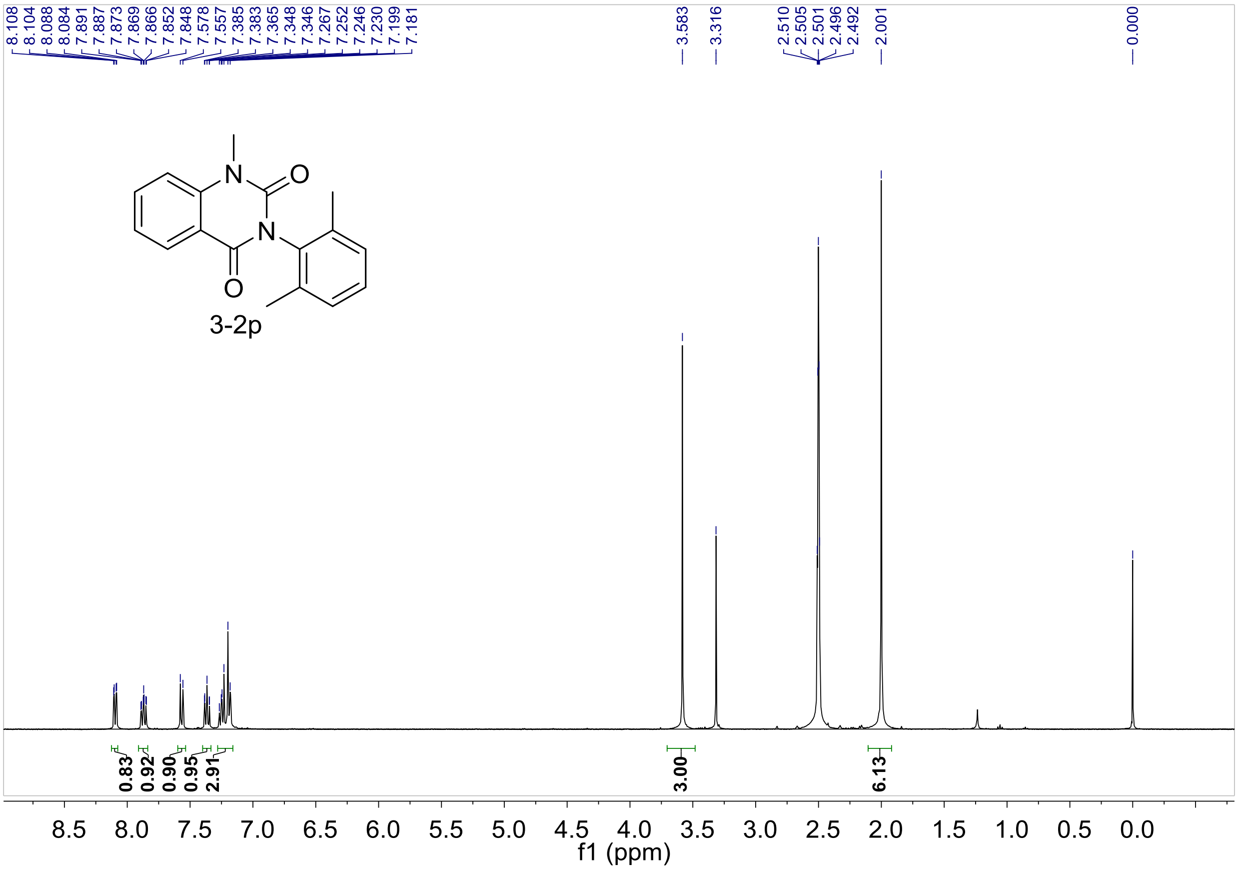

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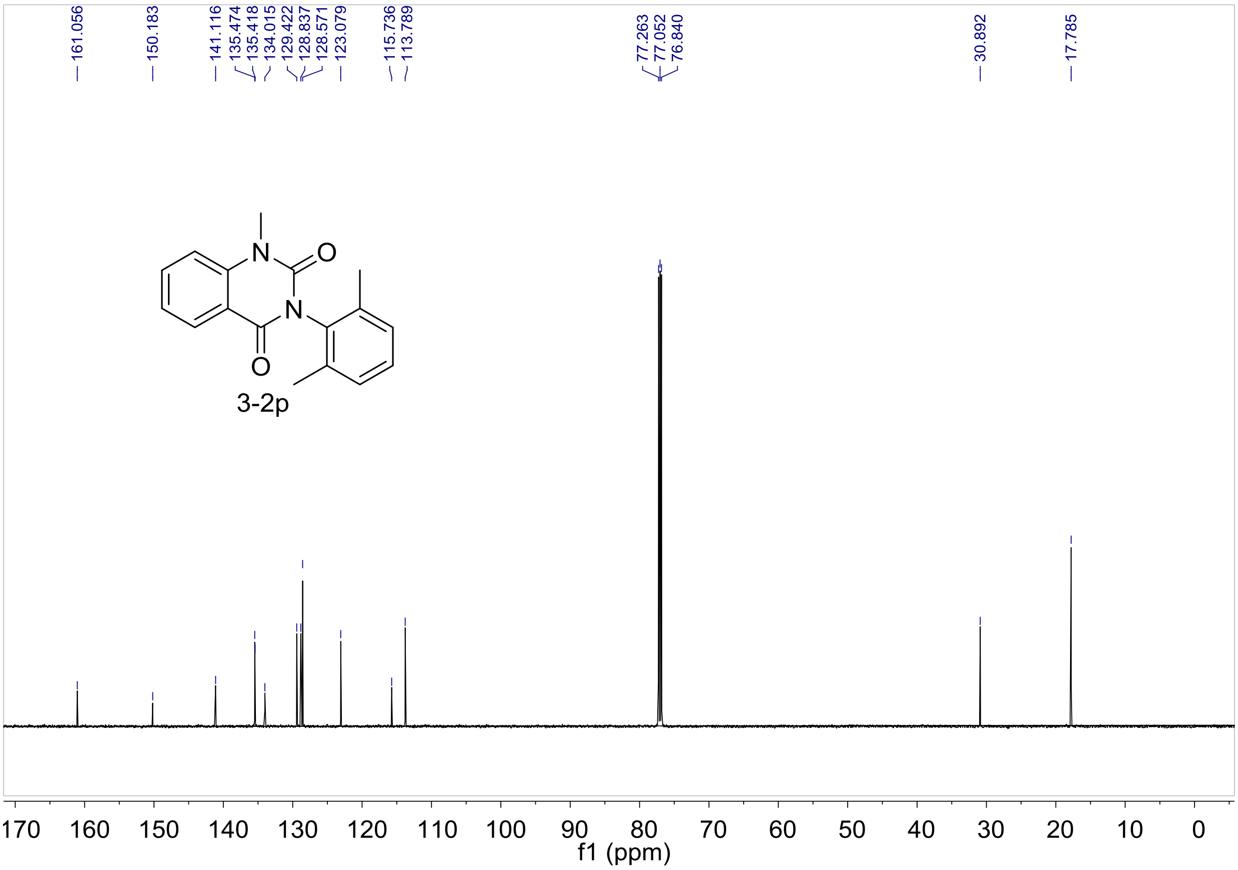

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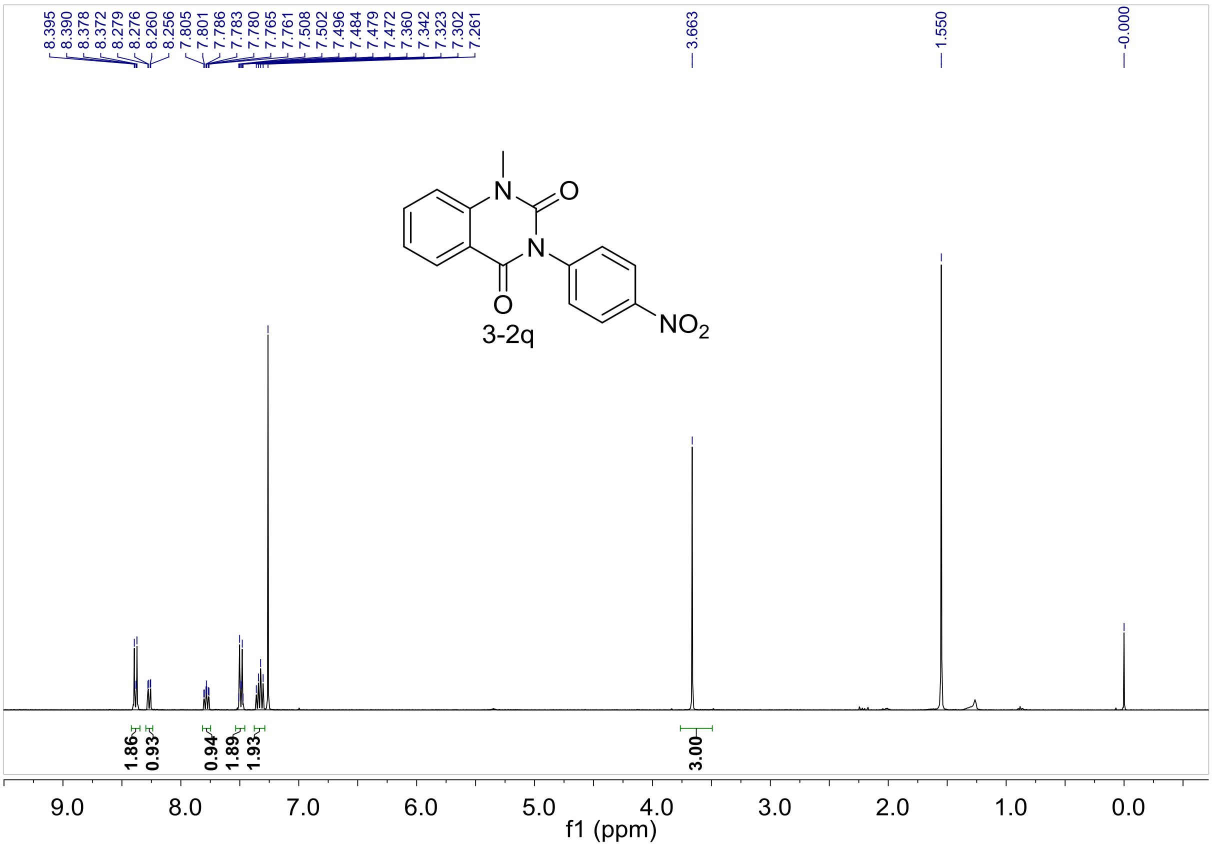

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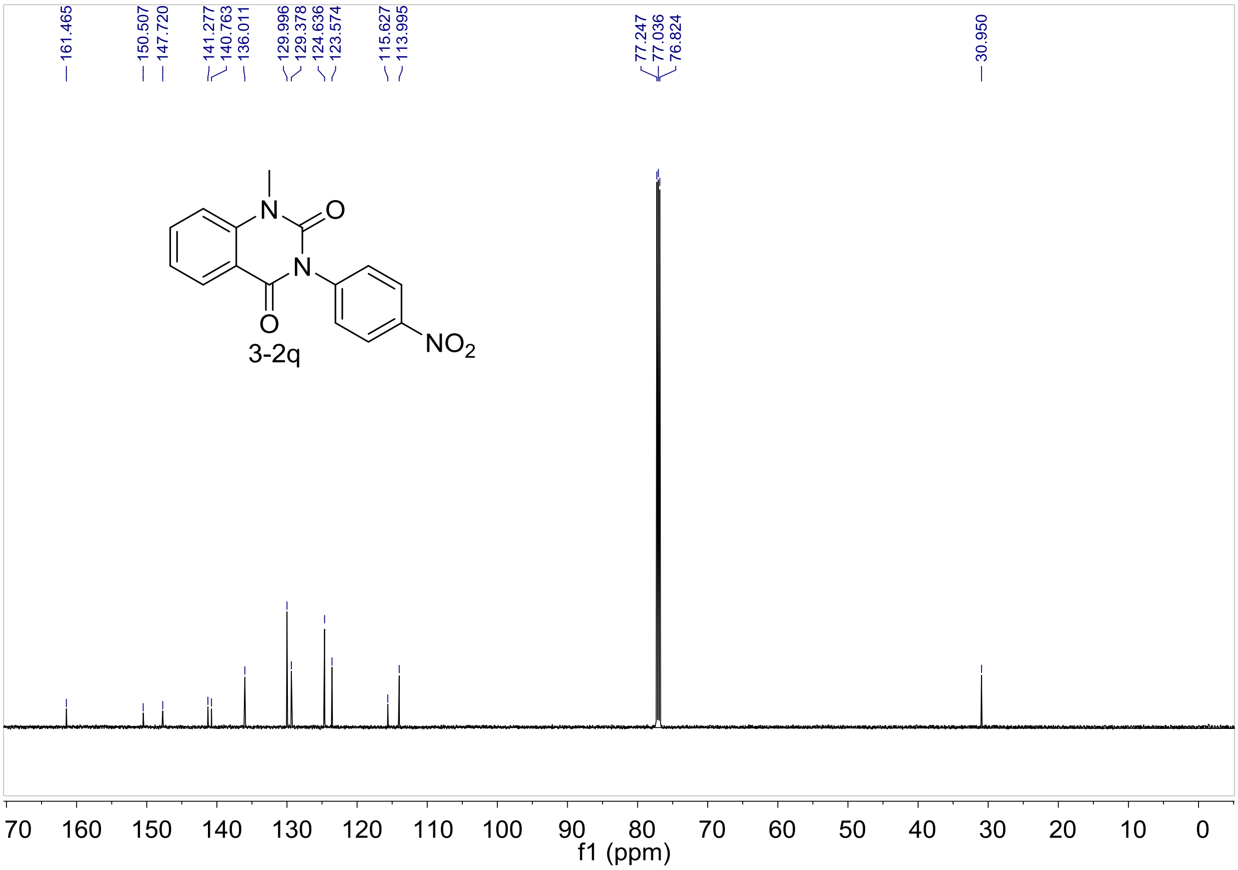

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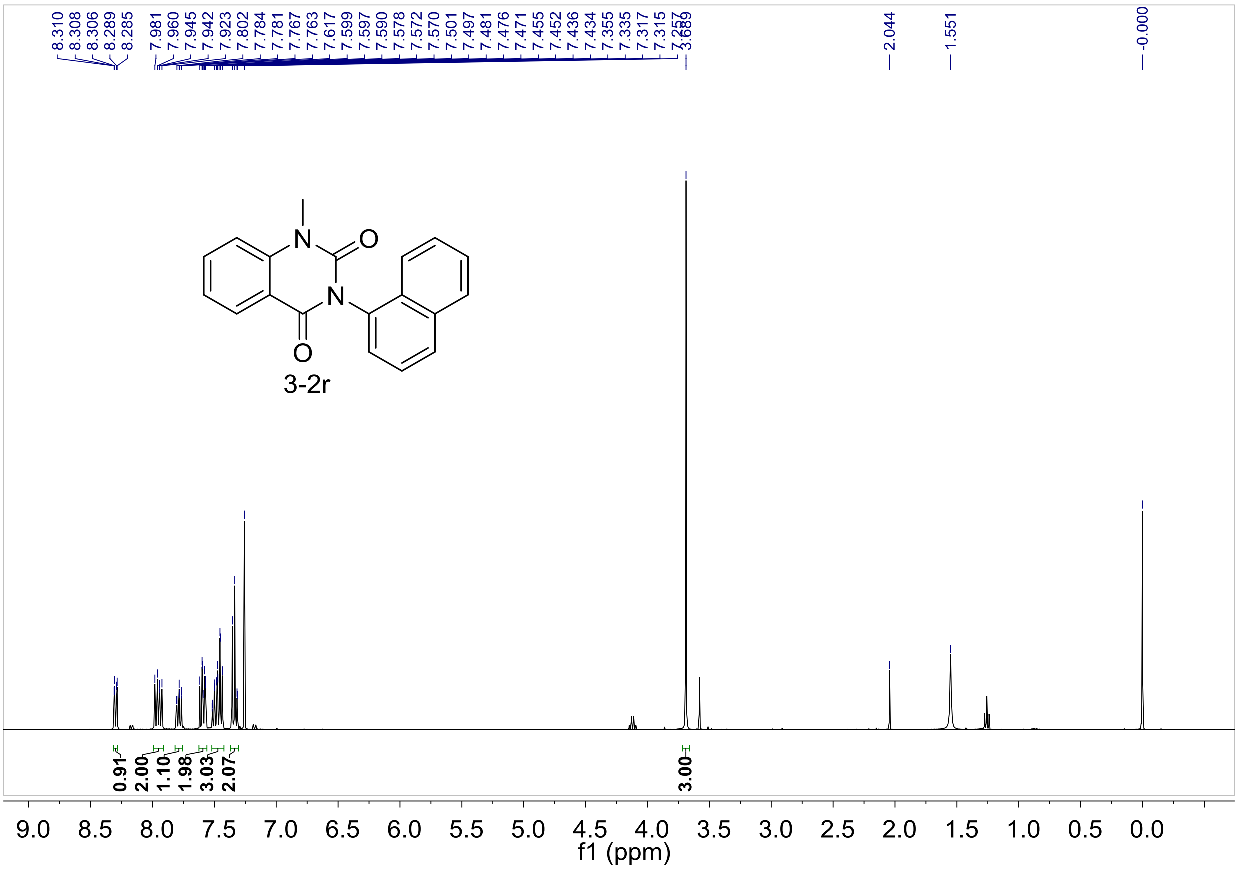

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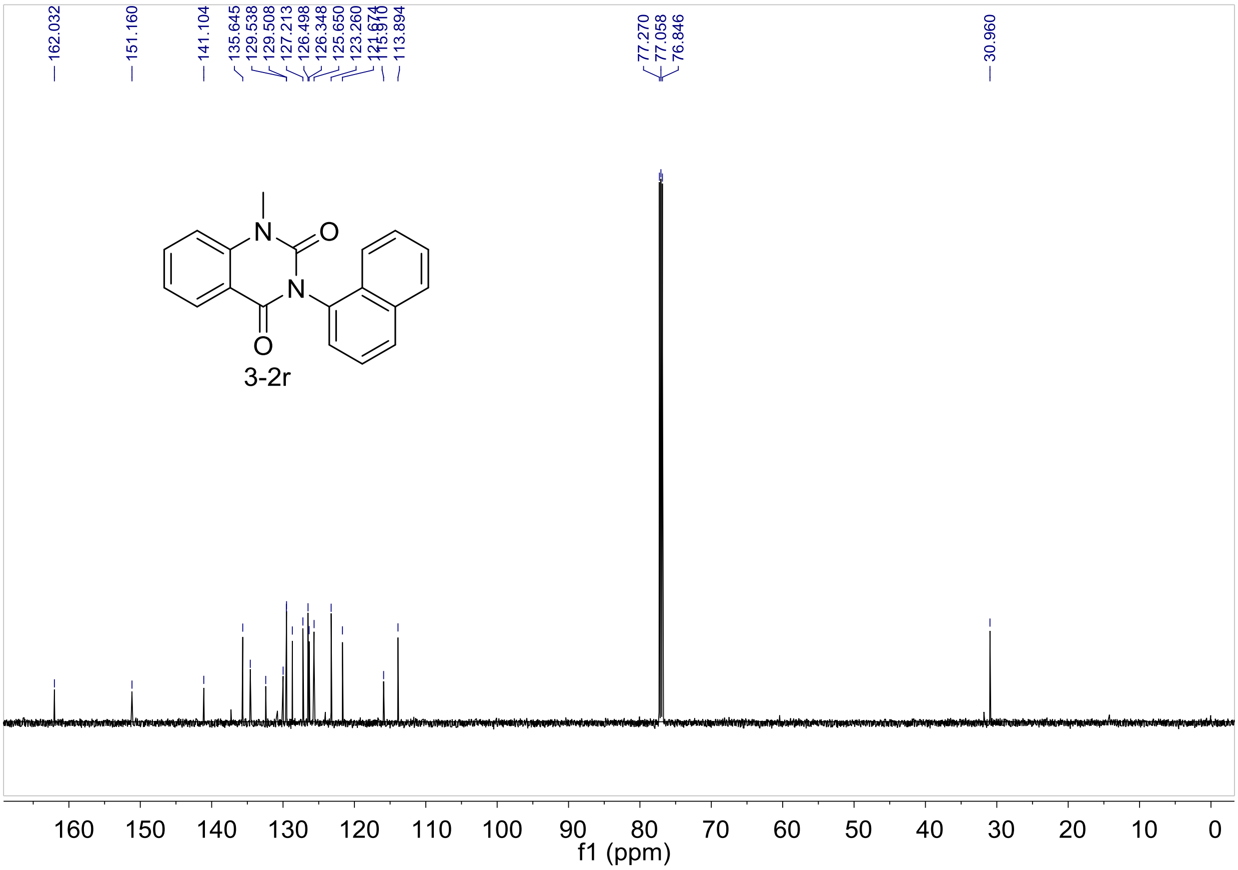

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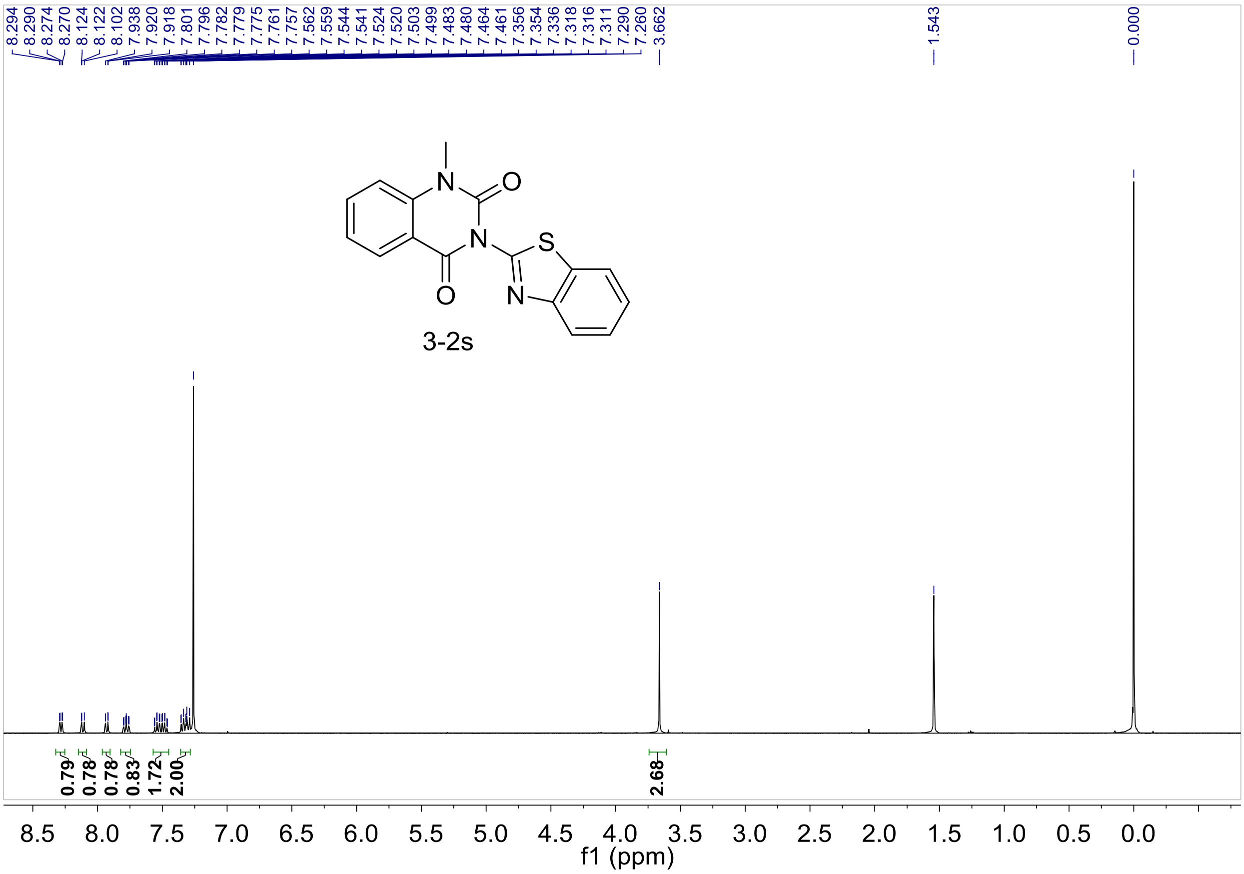

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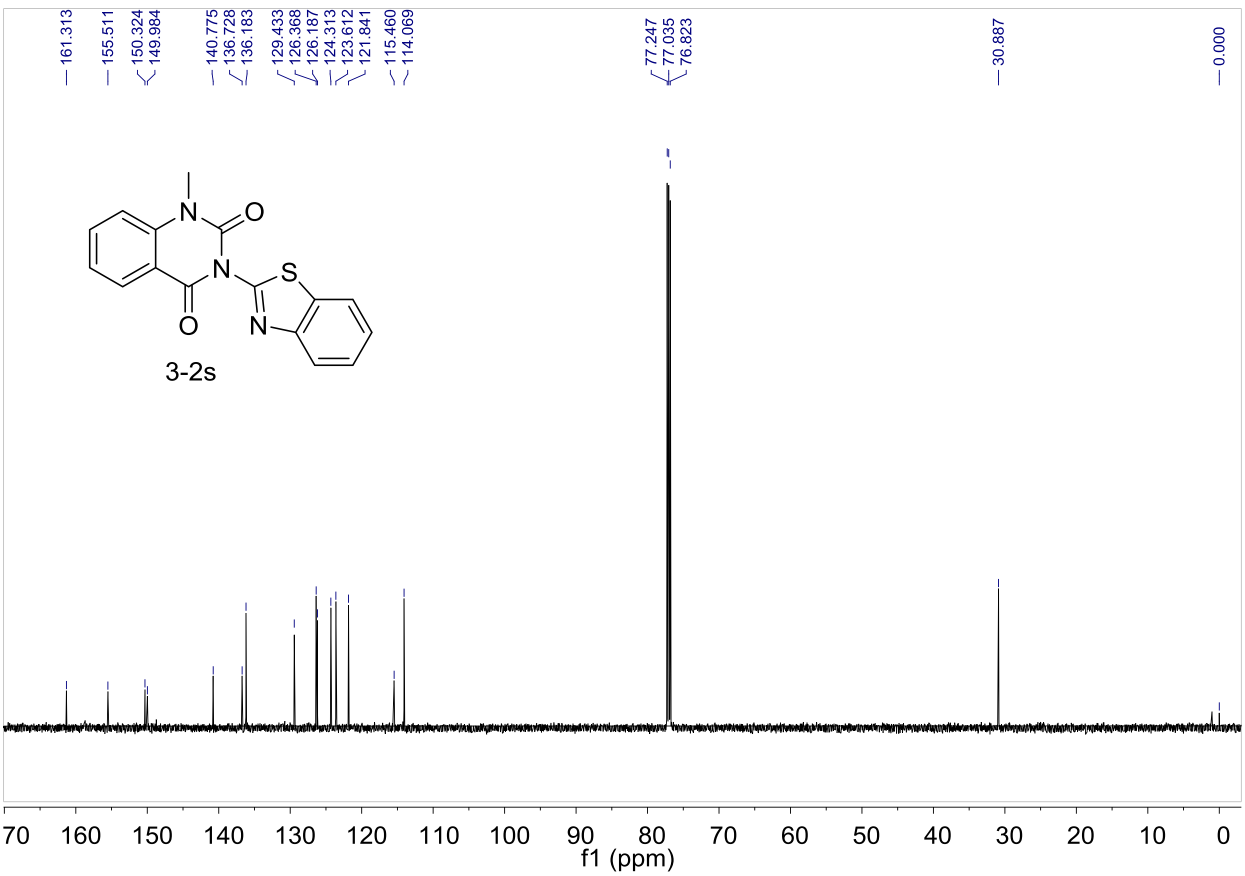

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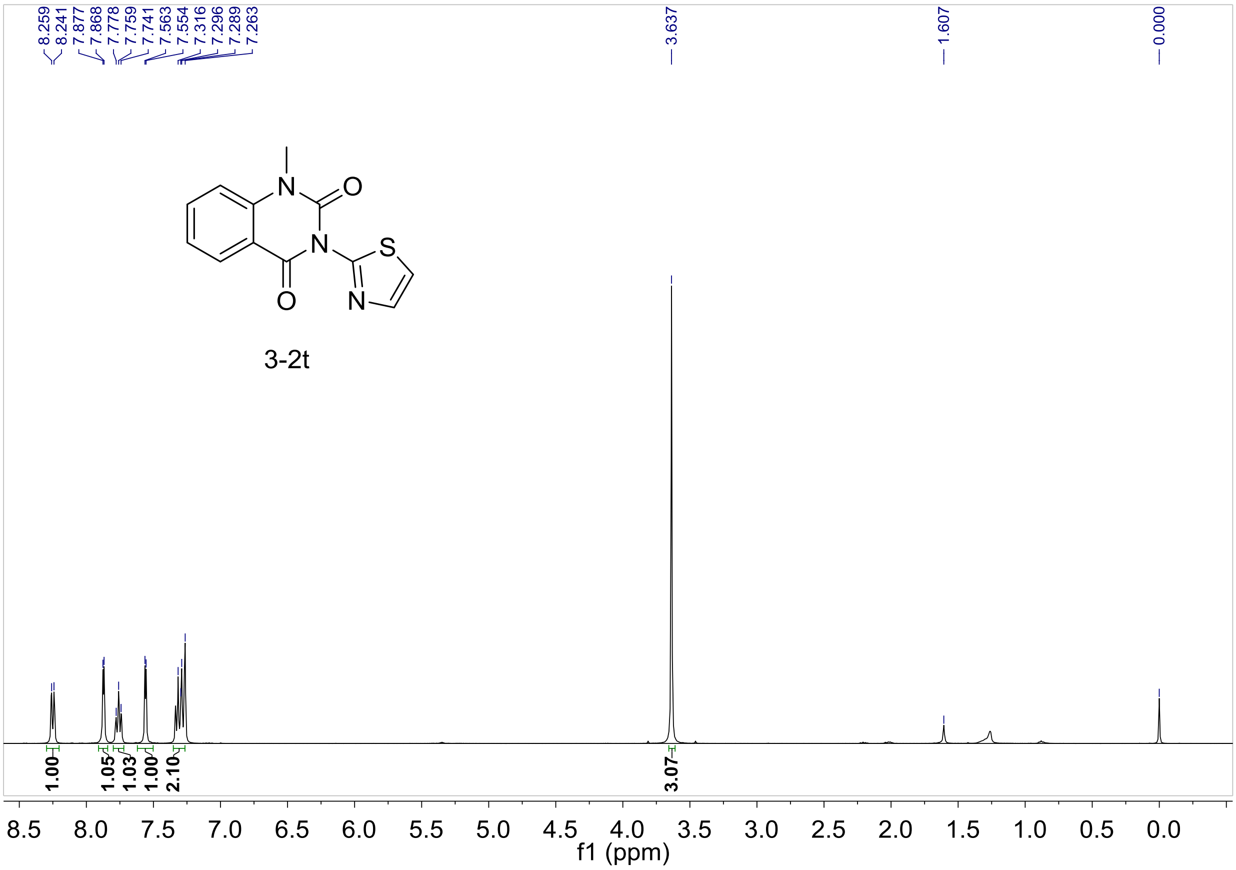

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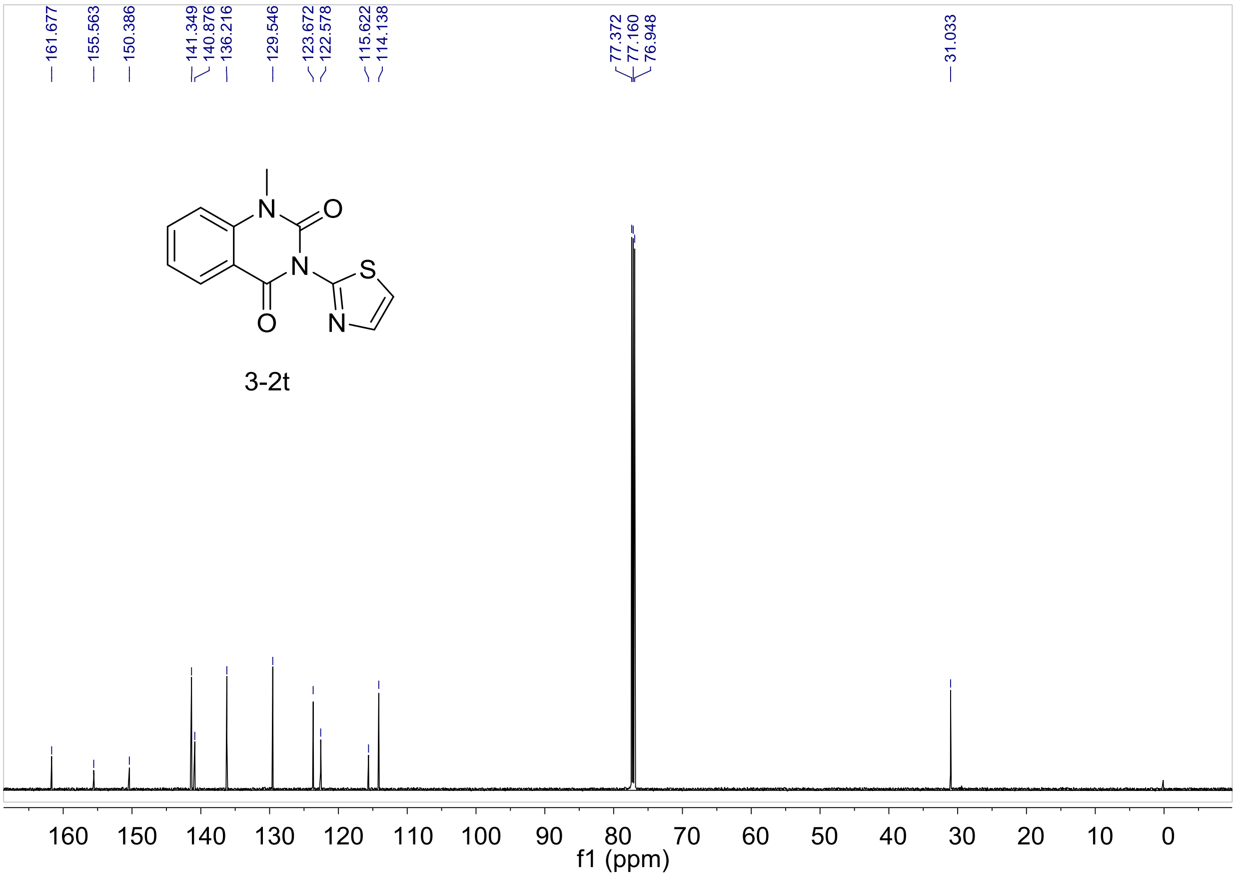

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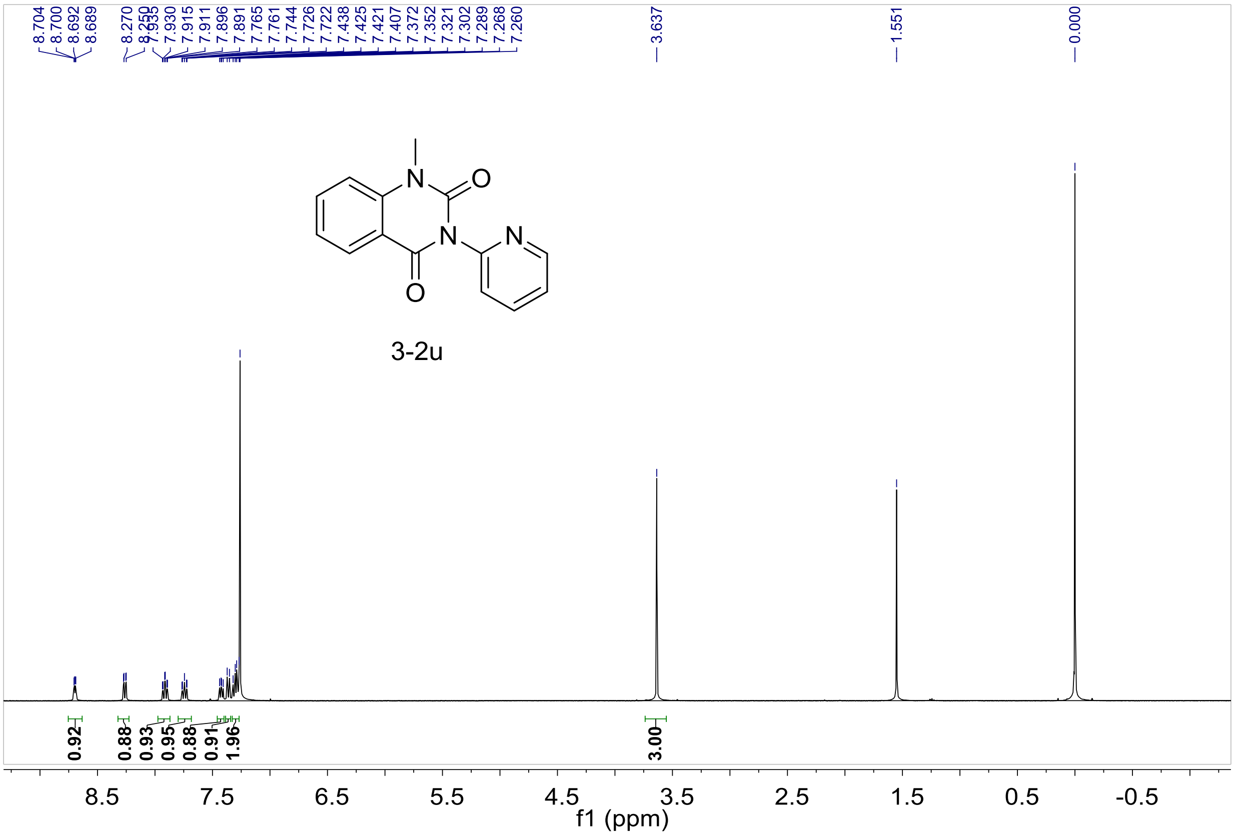

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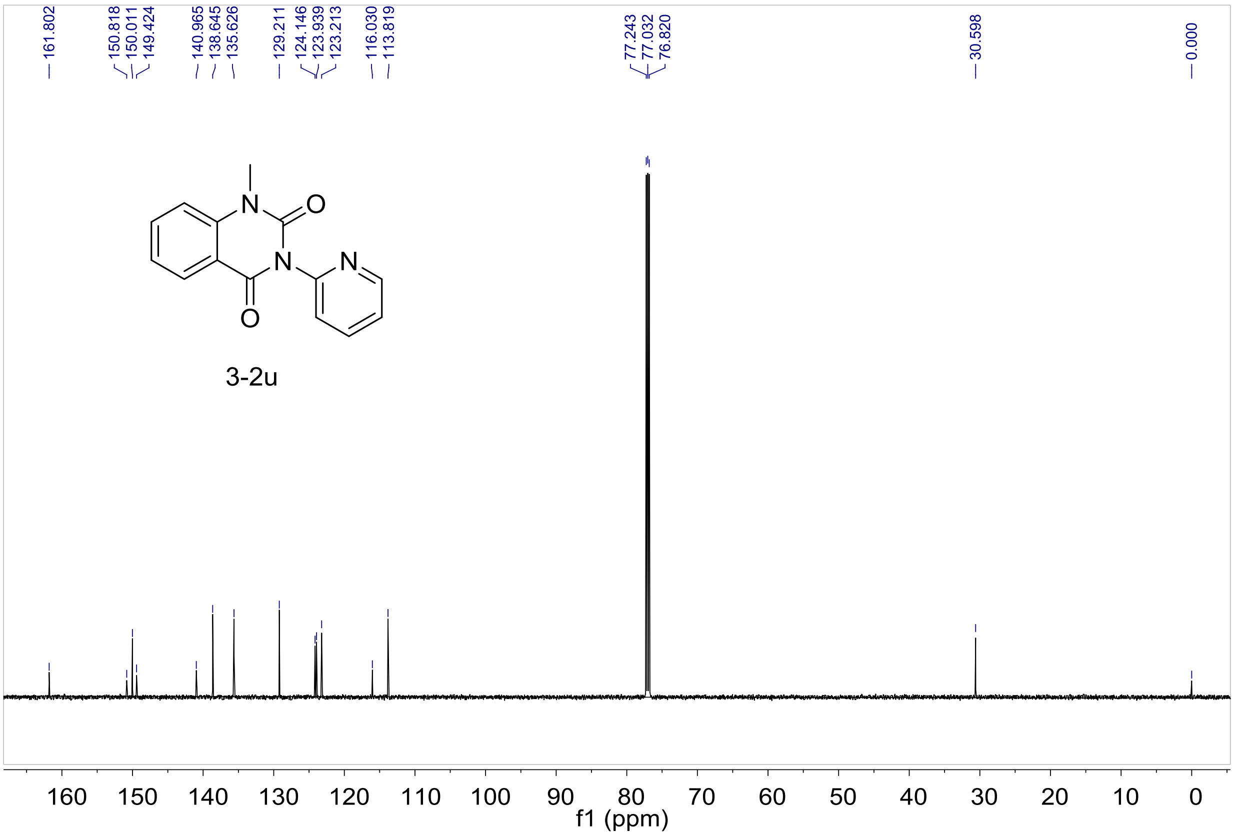
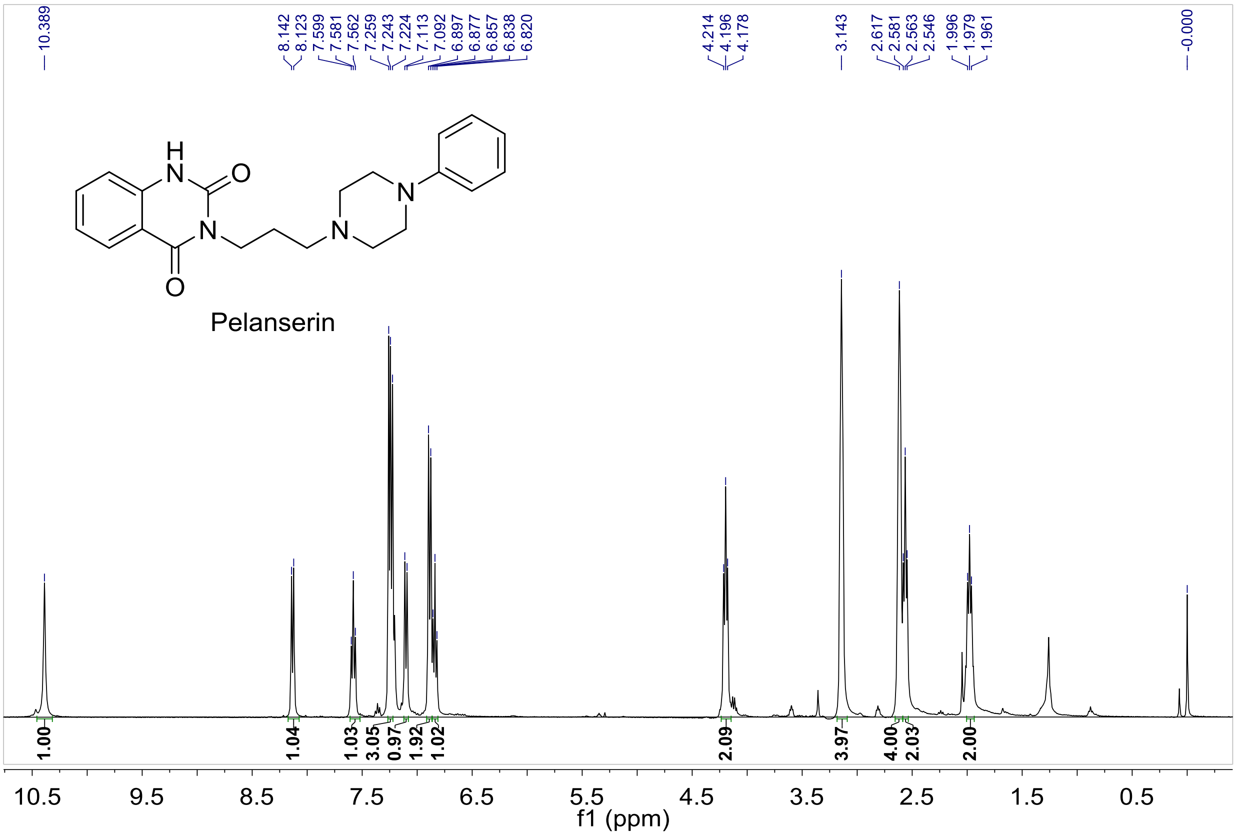
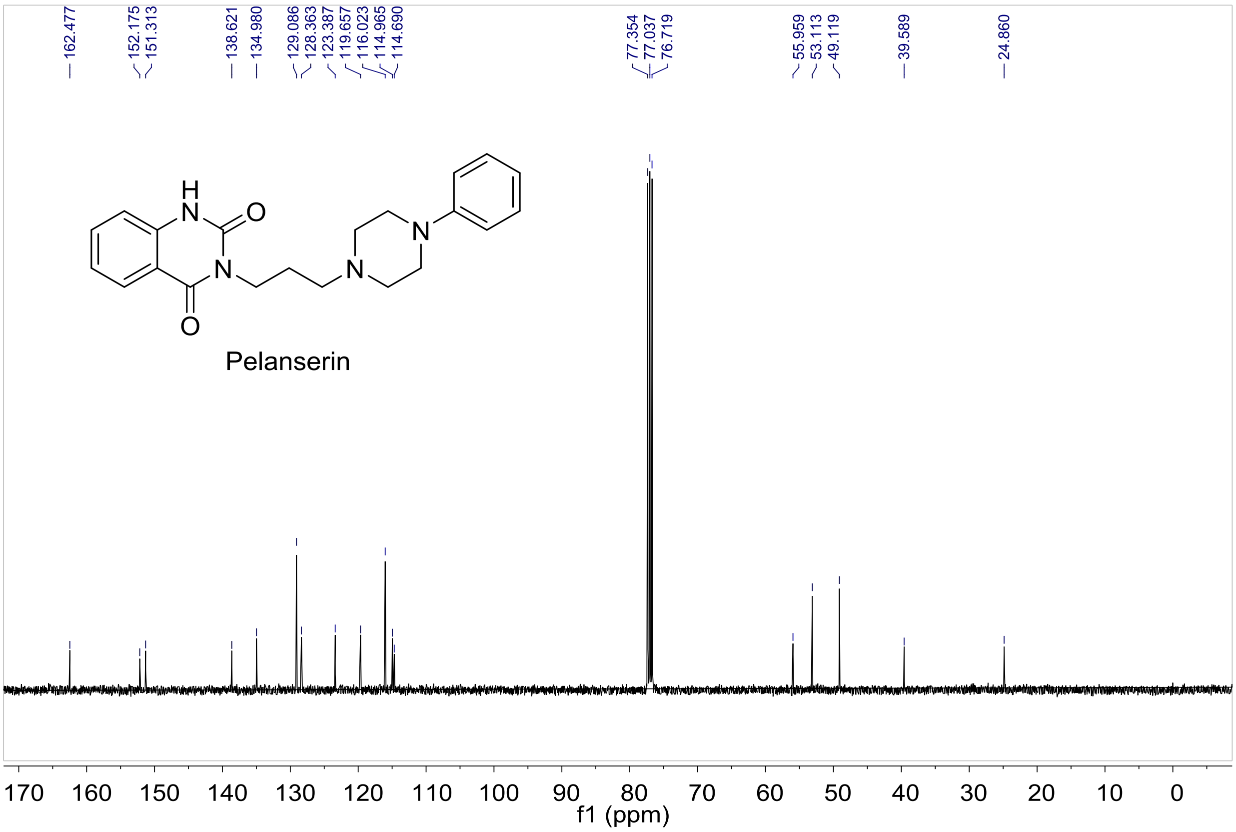


[13]C NMR of **3-2u**



[1]H NMR of **Pelanserin**



[13]C NMR of **Pelanserin**

3. Reference

(1) Z. H. Guan, M. Chen, Z. H. Ren, *J. Am. Chem. Soc.* 2012, **134**, 17490-17493.