Supporting Information

For

Transition Metal-Free Direct C-H Functionalization of Quinones and Naphthoquinones with Diaryliodonium Salts: Synthesis of Aryl Naphthoquinones as *β*-secretase Inhibitors

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1. General methods and materials

1,4-quinone, 1,4-naphthoquinone and other chemicals were obtained from commercial resource and used without further purification. All solvents were dried and purified by known procedures and freshly distilled under nitrogen from appropriate drying agents prior to use. The products were isolated by column chromatography on silica gel (200-300 mesh or 100-200 mesh) by using petroleum ether (60-90 °C) and ethyl acetate as the eluant. All yields described herein are the isolated yields after column chromatography. Reaction progress and product mixtures were routinely monitored by TLC using TLC SiO_2 sheets, and compounds were visualized under ultraviolet light. ^{1}H-NMR spectra were recorded using $CDCl_3$ as a solvent. ^{1}H-NMR chemical shifts are referenced to tetramethylsilane (TMS) (0 ppm). All products reported showed ^{1}H-NMR and ^{13}C-NMR spectra in agreement with the assigned structures.

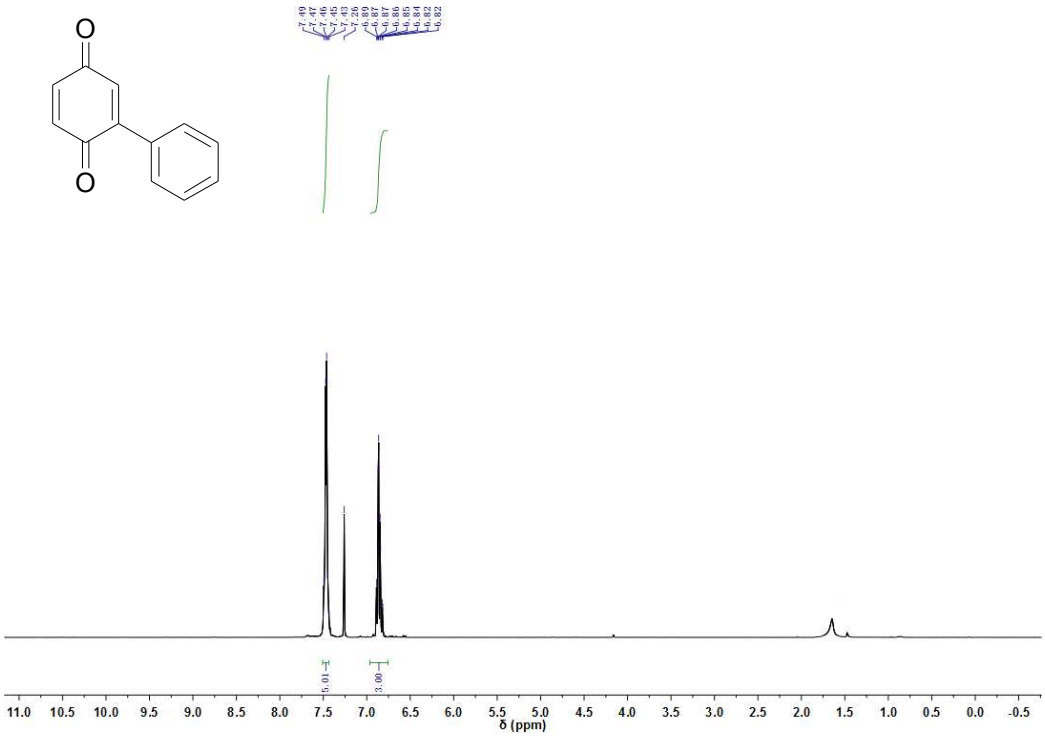
diaryliodonium salts was synthesized according to the literature as below:
(1) Bielawski, M.; Olofsson, B. *Chem. Commun.* **2007**, 2521-2523. (2) Bielawski, M.; Zhu, M.; Olofsson, B. *Adv. Synth. Catal.* **2007**, *349*, 2610-2618.

2. **Typical procedure for the preparation diaryliodonium salts.**

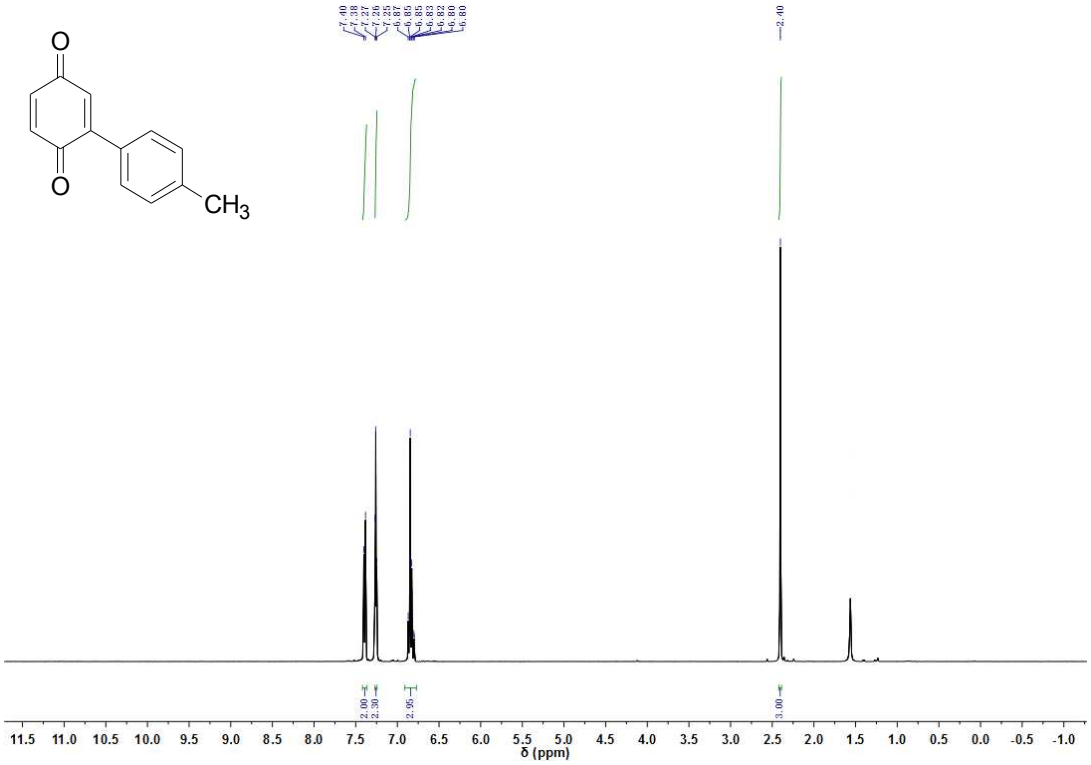
A mixture of aryl iodide (2.0 mmol), *m*-Chloroperbenzoic acid (2.2 mmol) was dissolved in DCM (10 mL) in a flask. The arene (2.0 mmol) was added at room temperature, and the mixture was added TfOH (4.0 mmol) dropwise at water bath under room temperature. The mixture was stirred at room temperature for overnight. Then the mixture of reaction was evaporated to give the residue, which cold diethyl ether (10 mL) was added and the mixture was stirred at rt for 20 min to precipitate out an white solid. The flask was stored in the freezer for 1 h, then the solid was filtered off, washed with cold diethyl ether and dried under vacuum to give diaryliodonium salt.

3. Copies of NMR spectra.

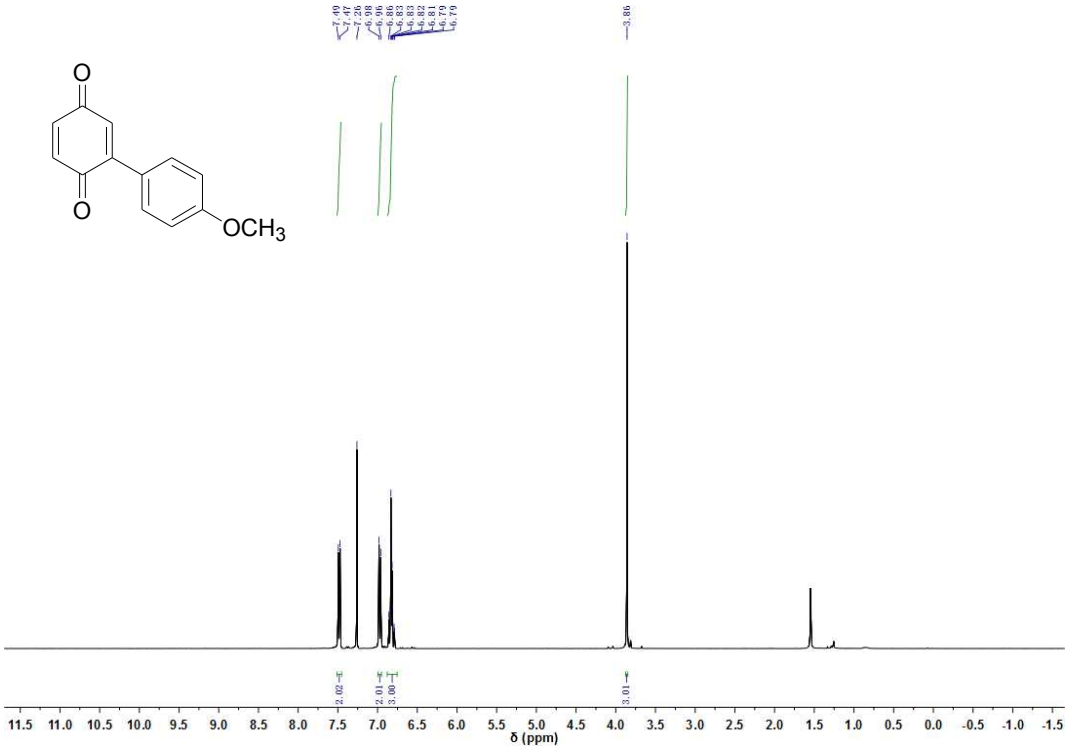
^{1}H-NMR spectrum of 3a



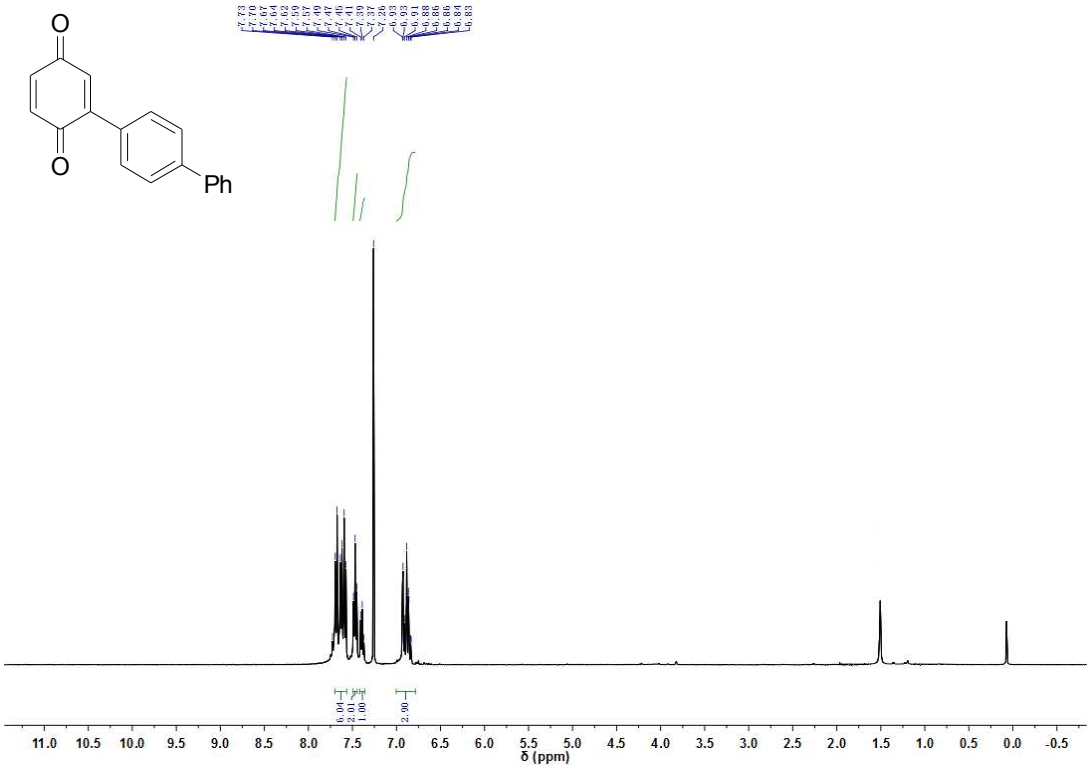
^{1}H-NMR spectrum of 3b



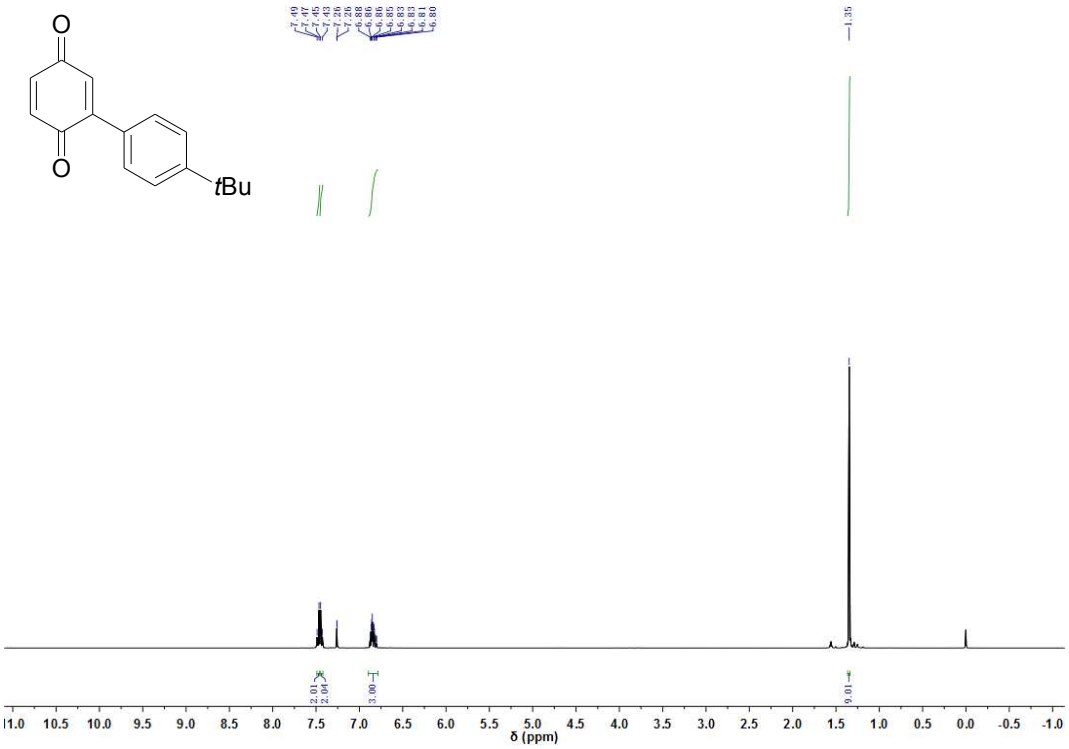
^{1}H-NMR spectrum of 3c



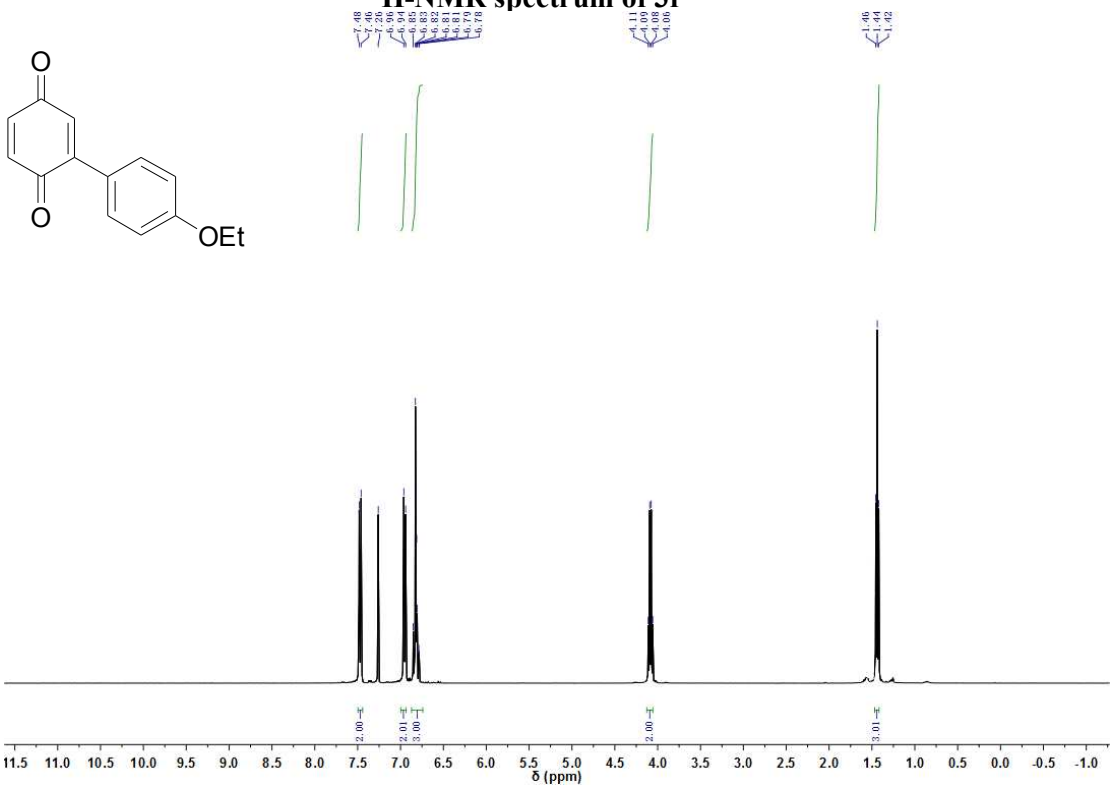
^{1}H-NMR spectrum of 3d



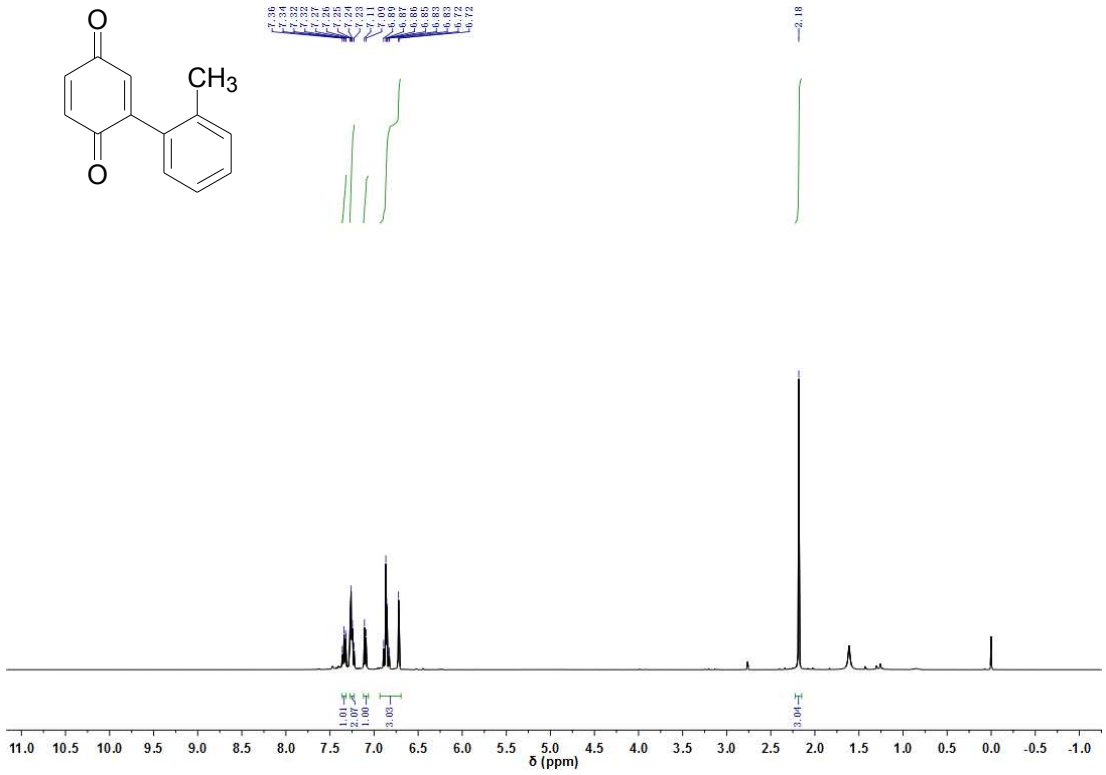
^{1}H-NMR spectrum of 3e



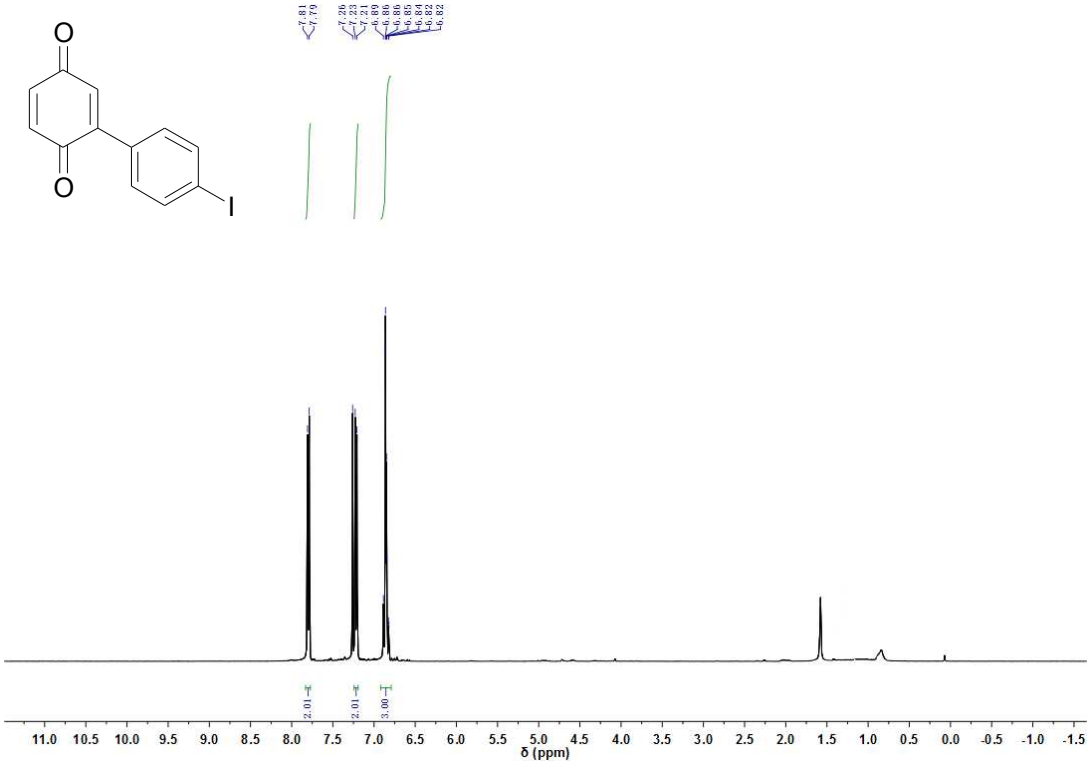
^{1}H-NMR spectrum of 3f



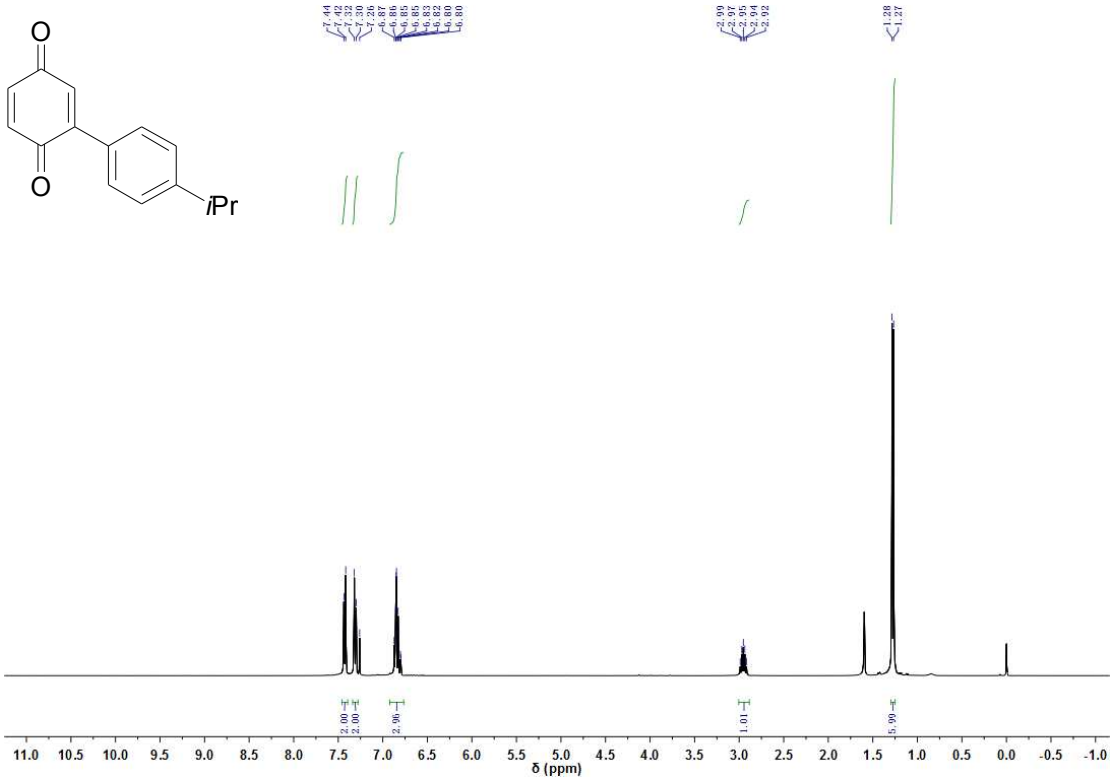
^{1}H-NMR spectrum of 3g



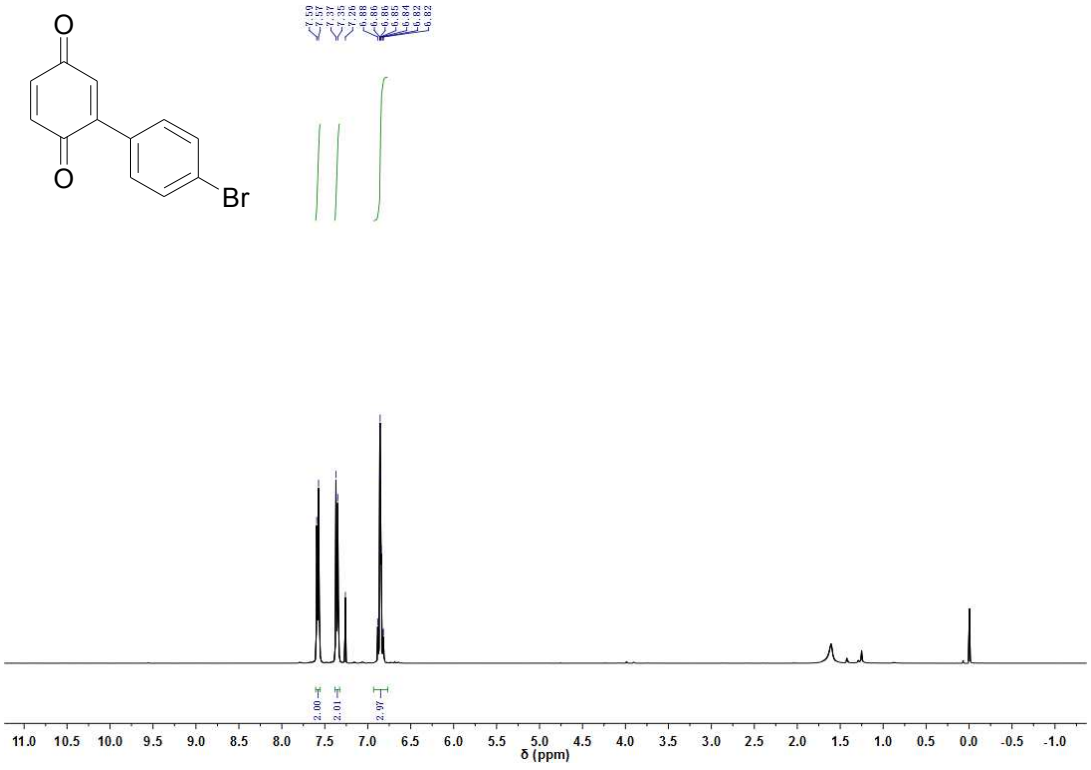
^{1}H-NMR spectrum of 3h



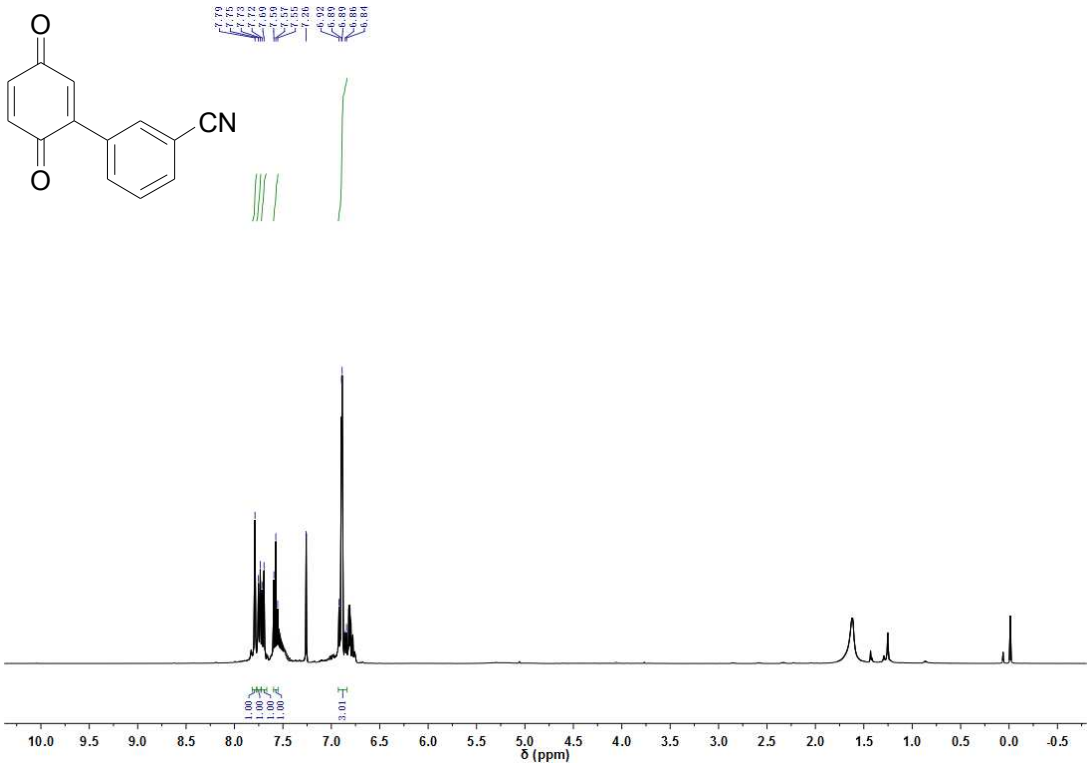
^{1}H-NMR spectrum of 3i



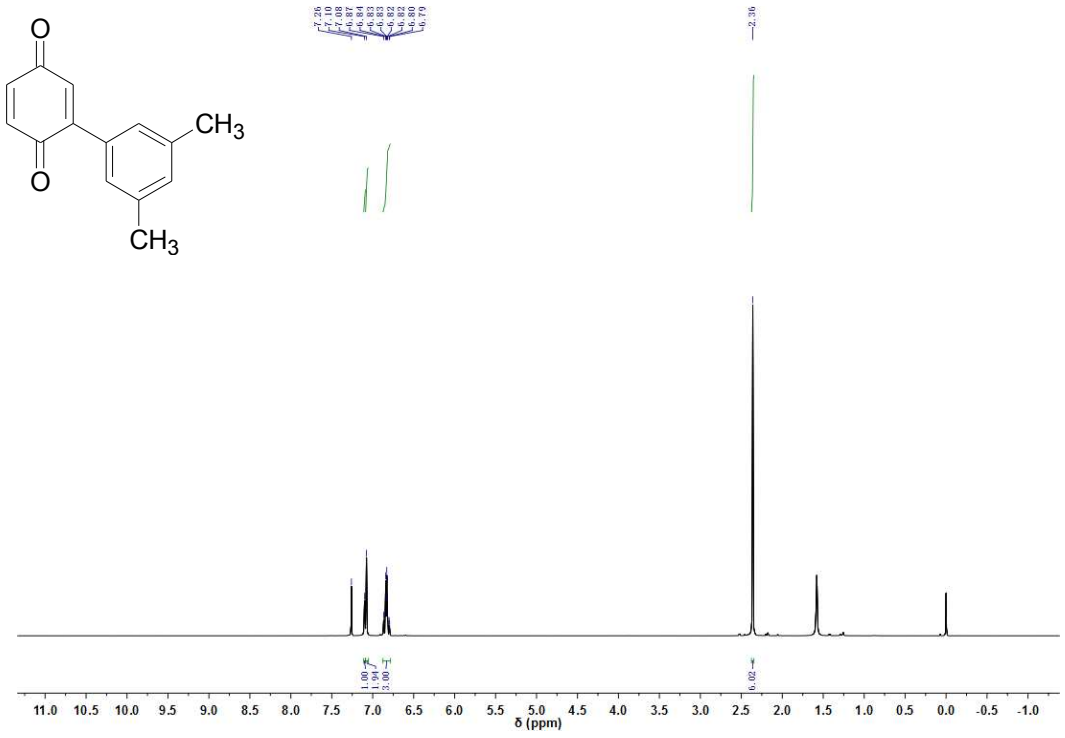
^{1}H-NMR spectrum of 3j



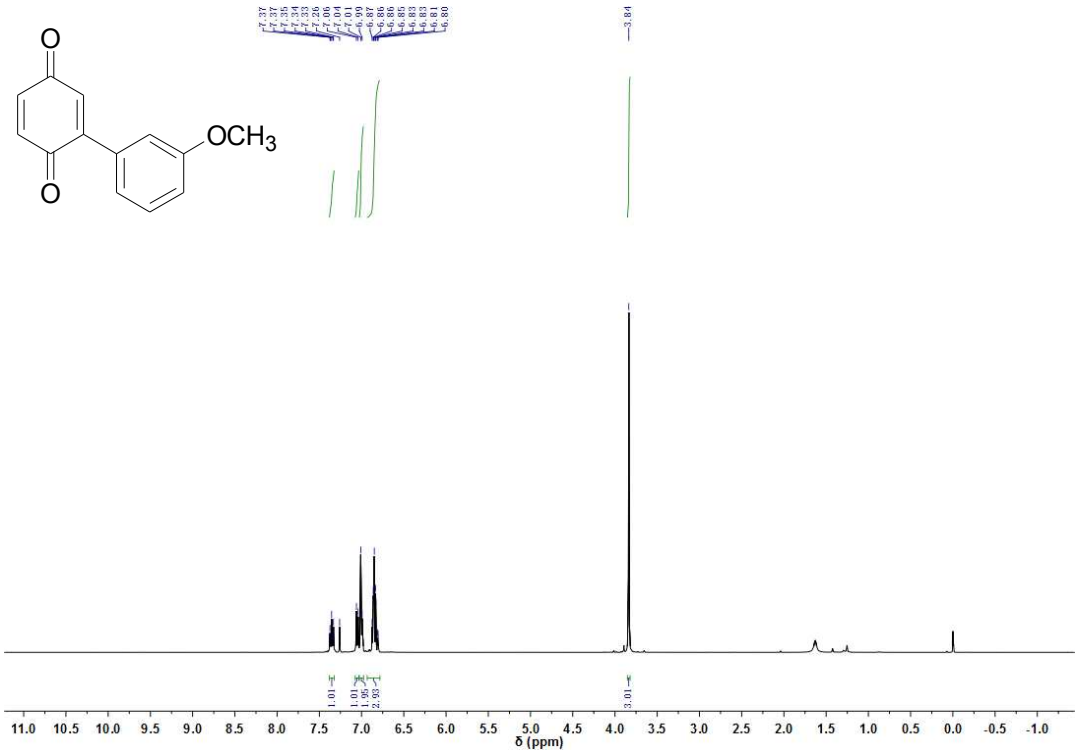
^{1}H-NMR spectrum of 3k



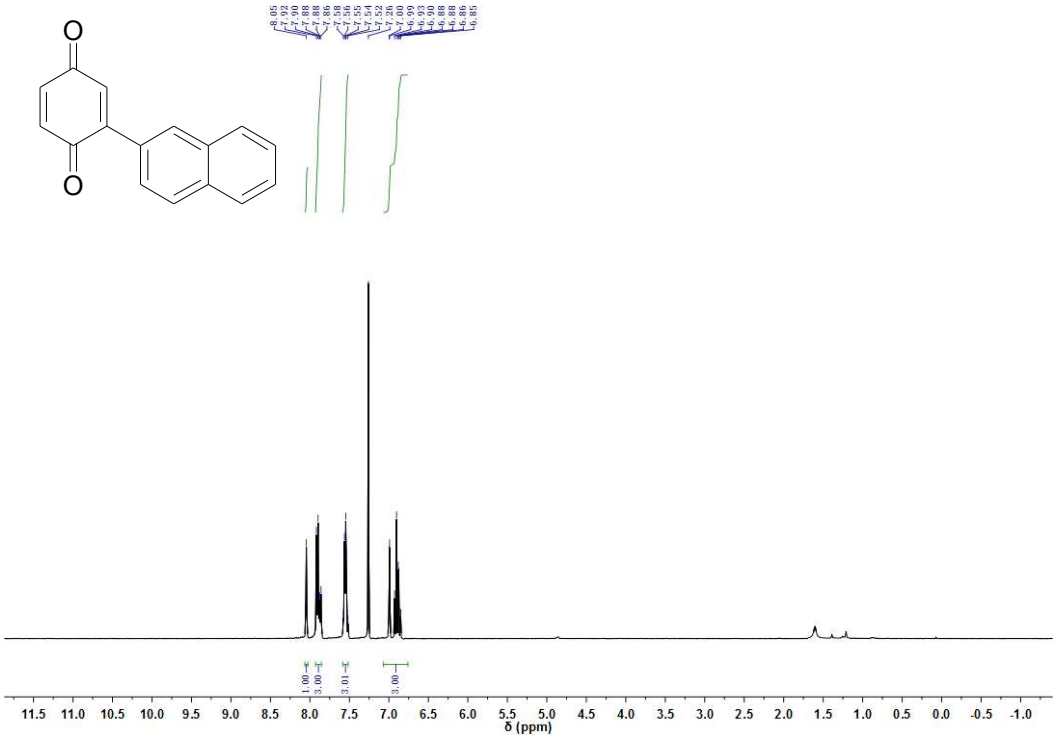
^{1}H-NMR spectrum of 3l



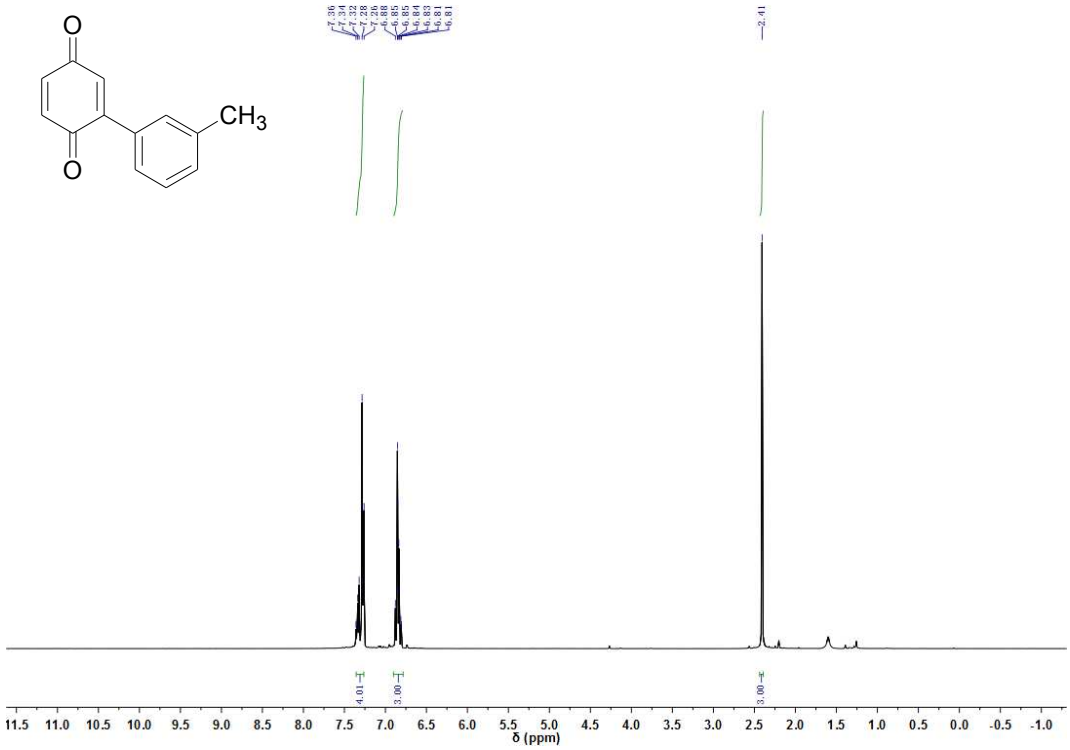
^{1}H-NMR spectrum of 3m



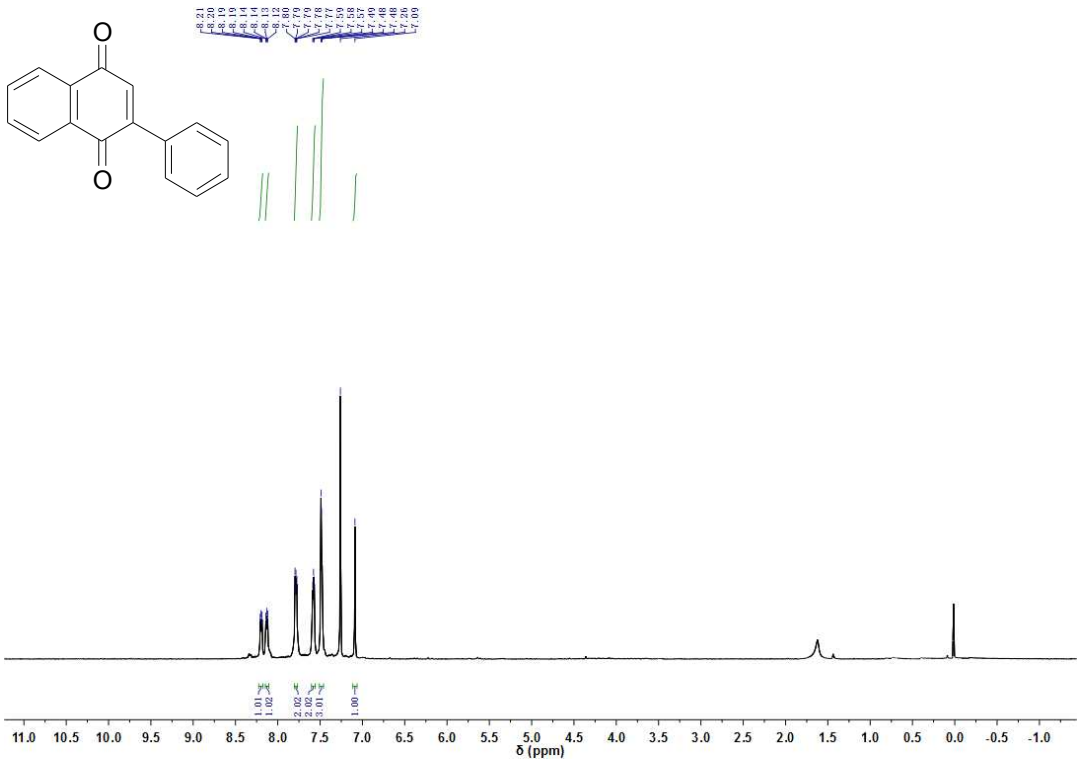
^{1}H-NMR spectrum of 3n



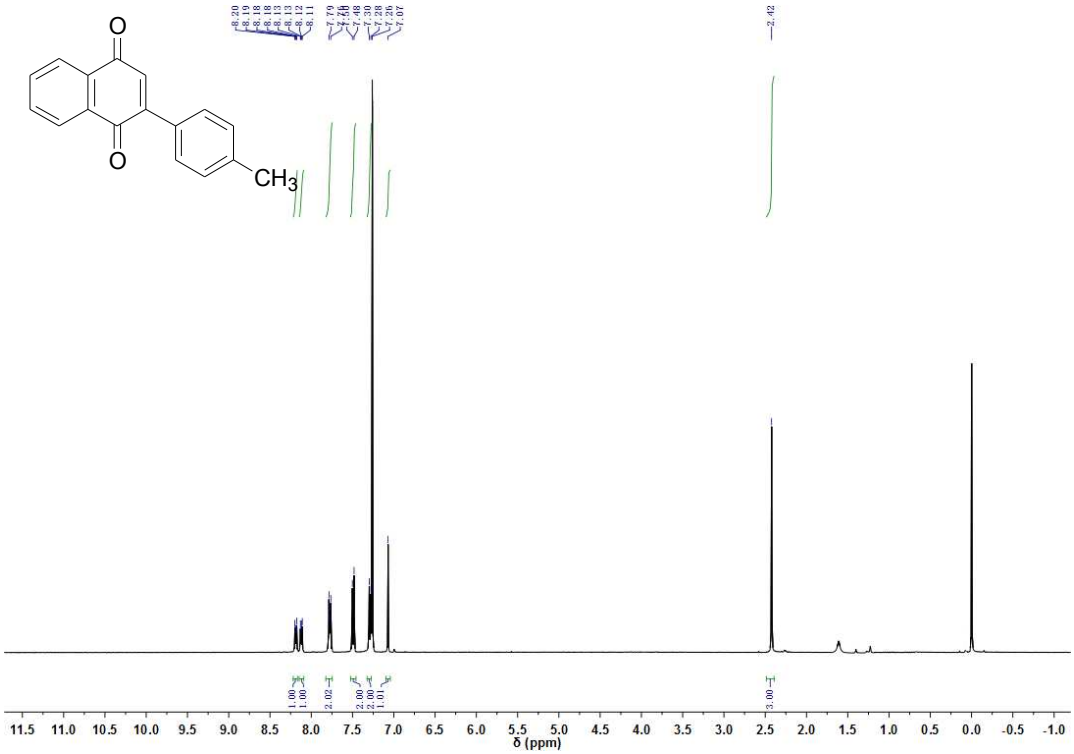
^{1}H-NMR spectrum of 3o



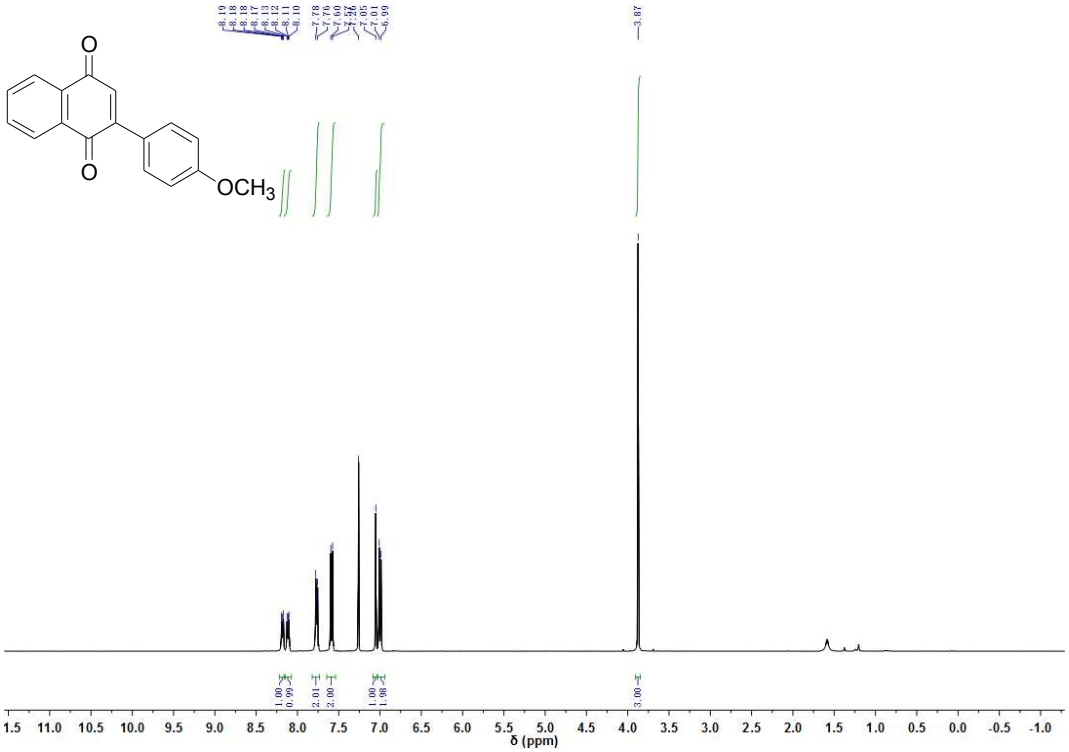
^{1}H-NMR spectrum of 5a



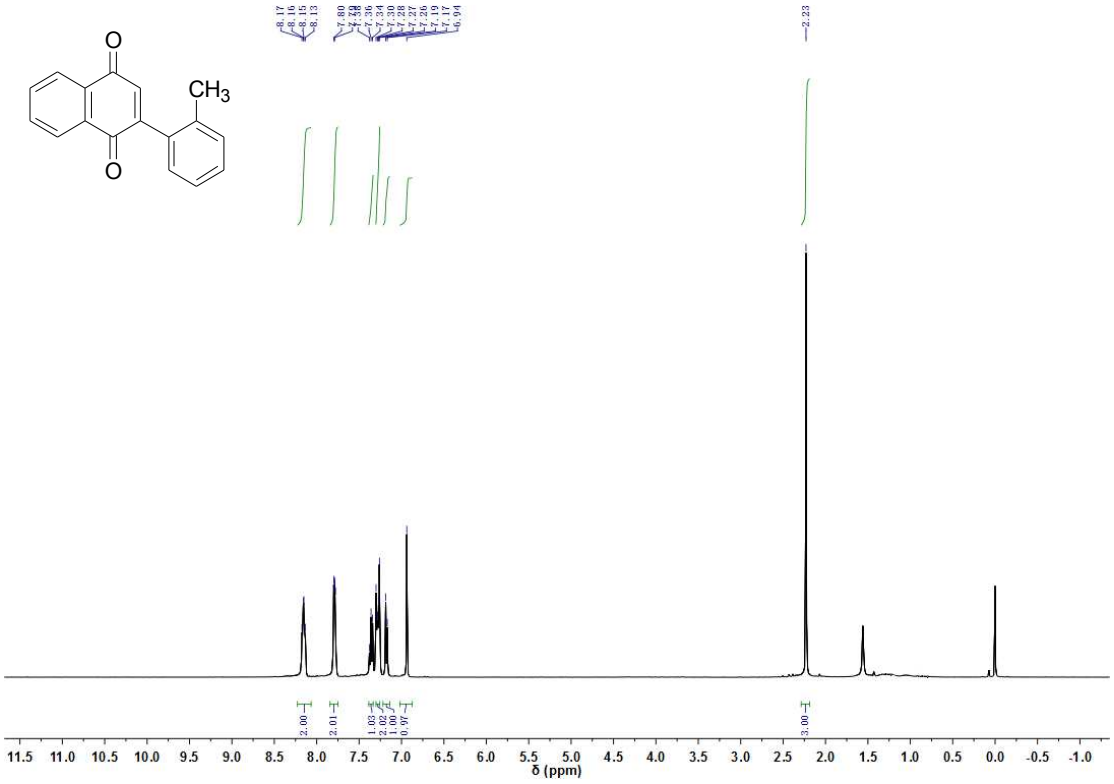
^{1}H-NMR spectrum of 5b



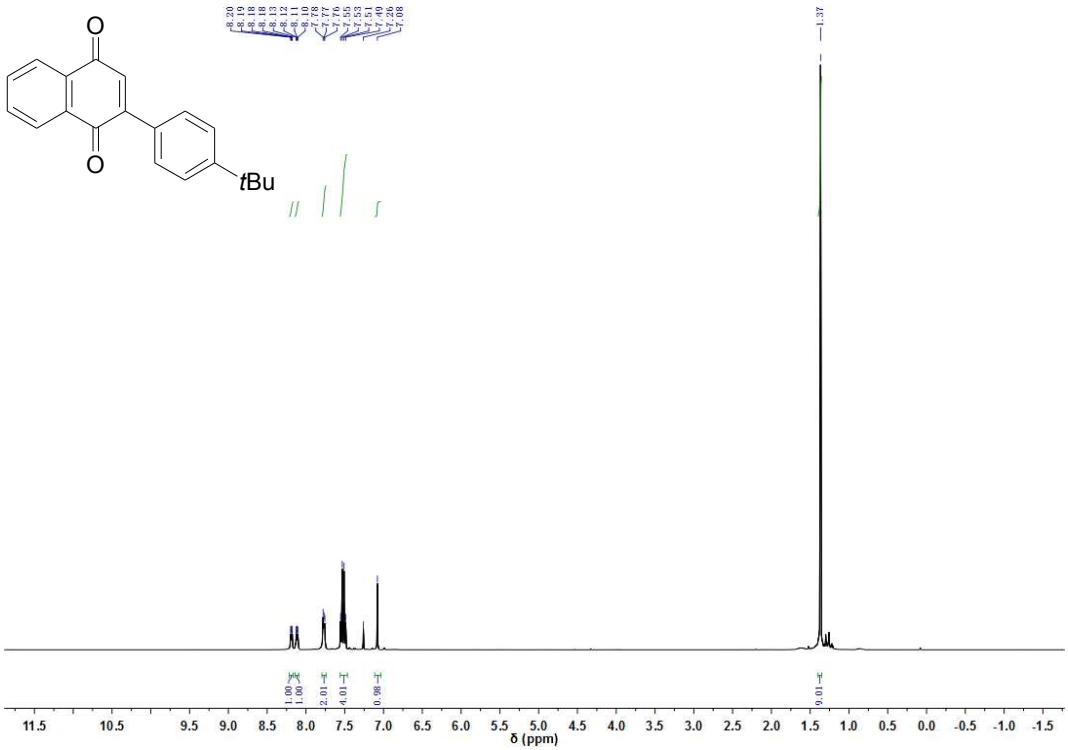
^{1}H-NMR spectrum of 5c



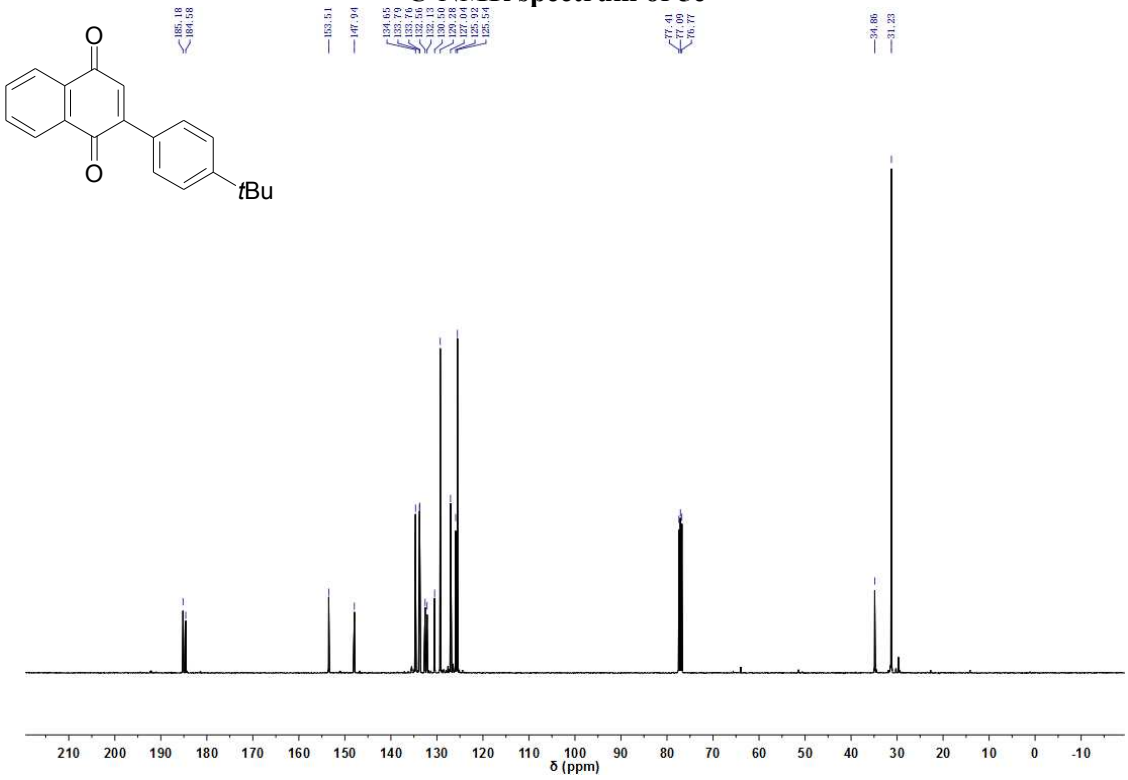
^{1}H-NMR spectrum of 5d



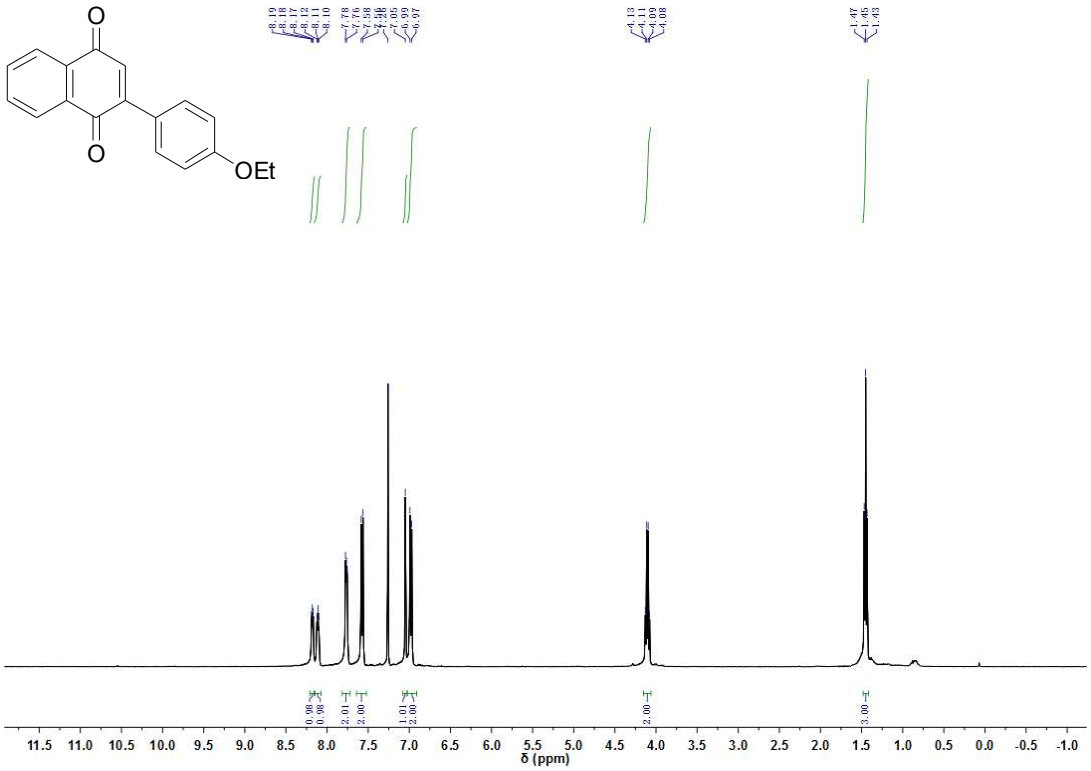
^{1}H-NMR spectrum of 5e



^{13}C-NMR spectrum of 5e



^{1}H-NMR spectrum of 5f



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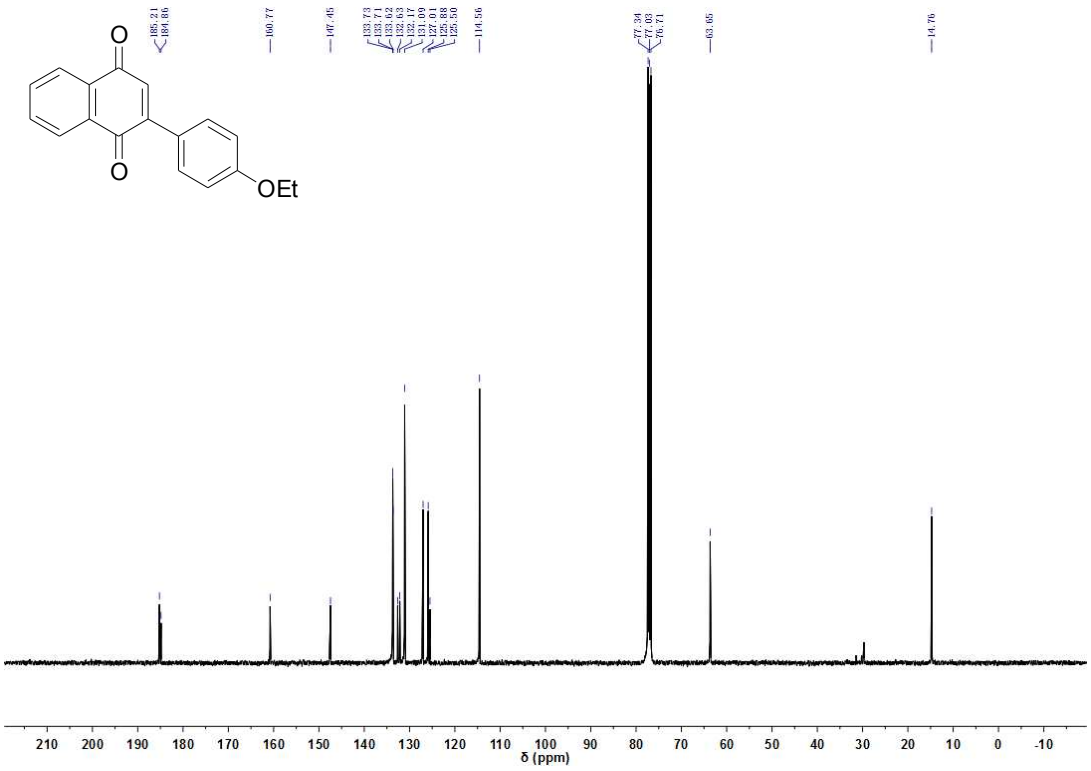
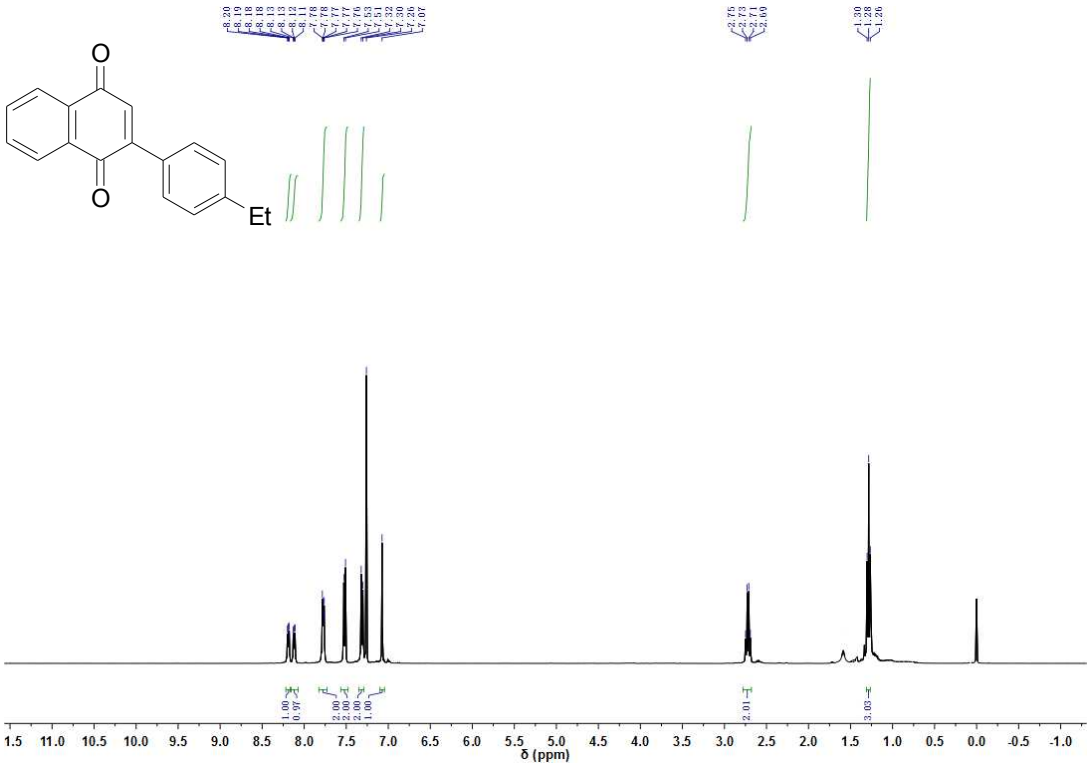
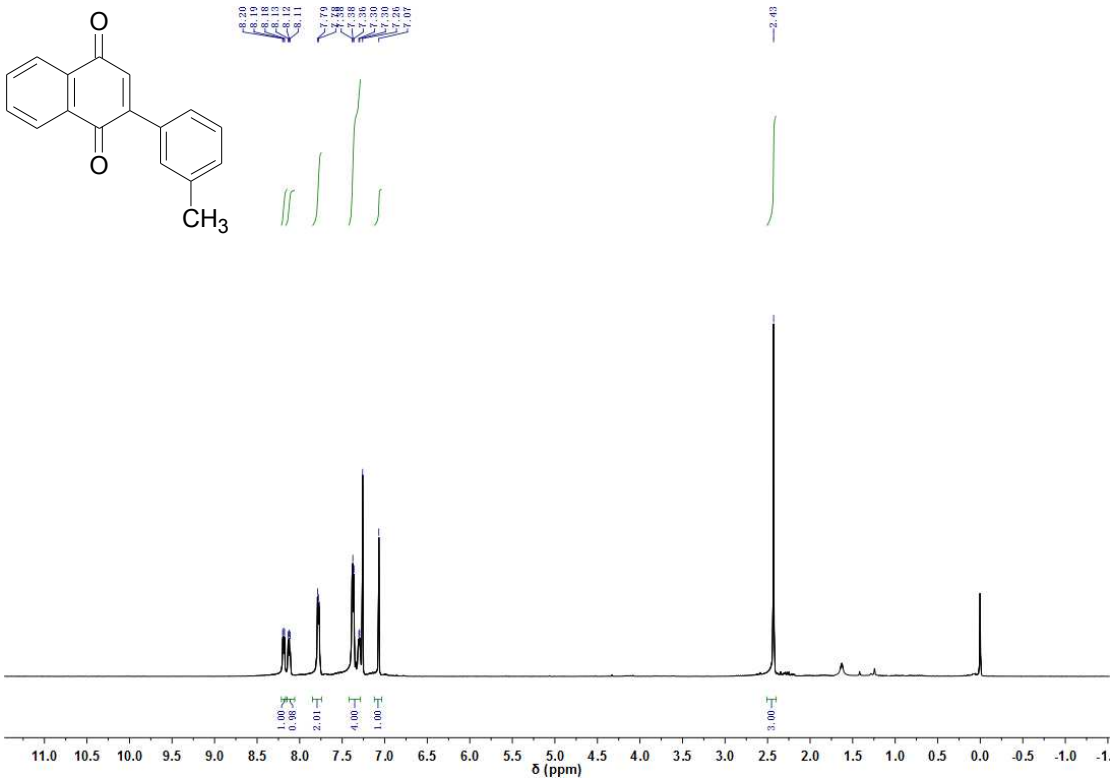


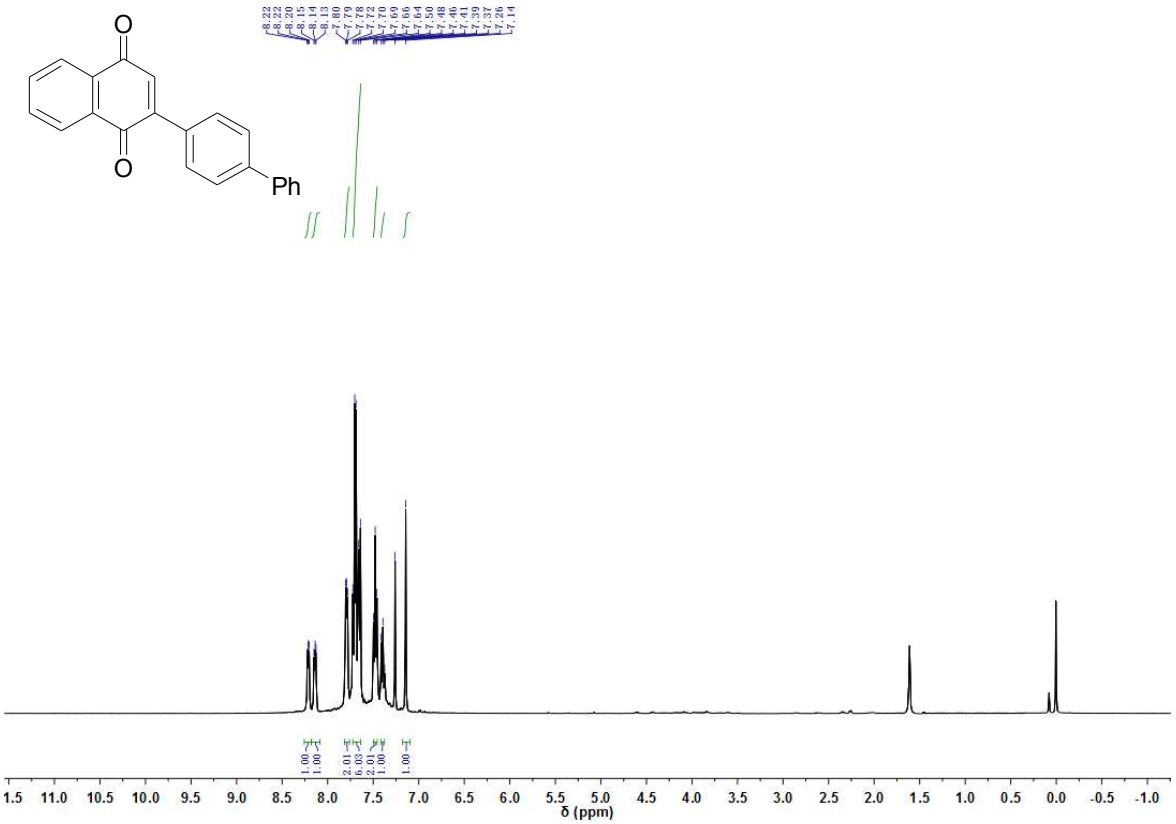
^{1}H-NMR spectrum of 5g



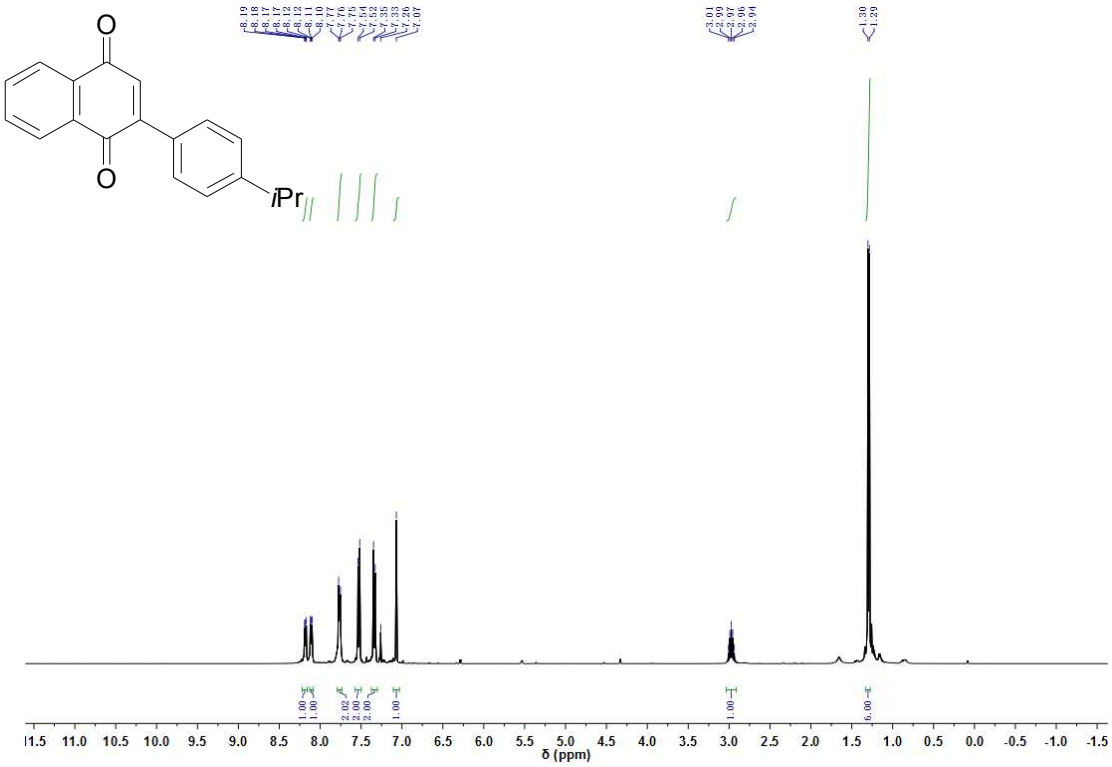
^{1}H-NMR spectrum of 5h



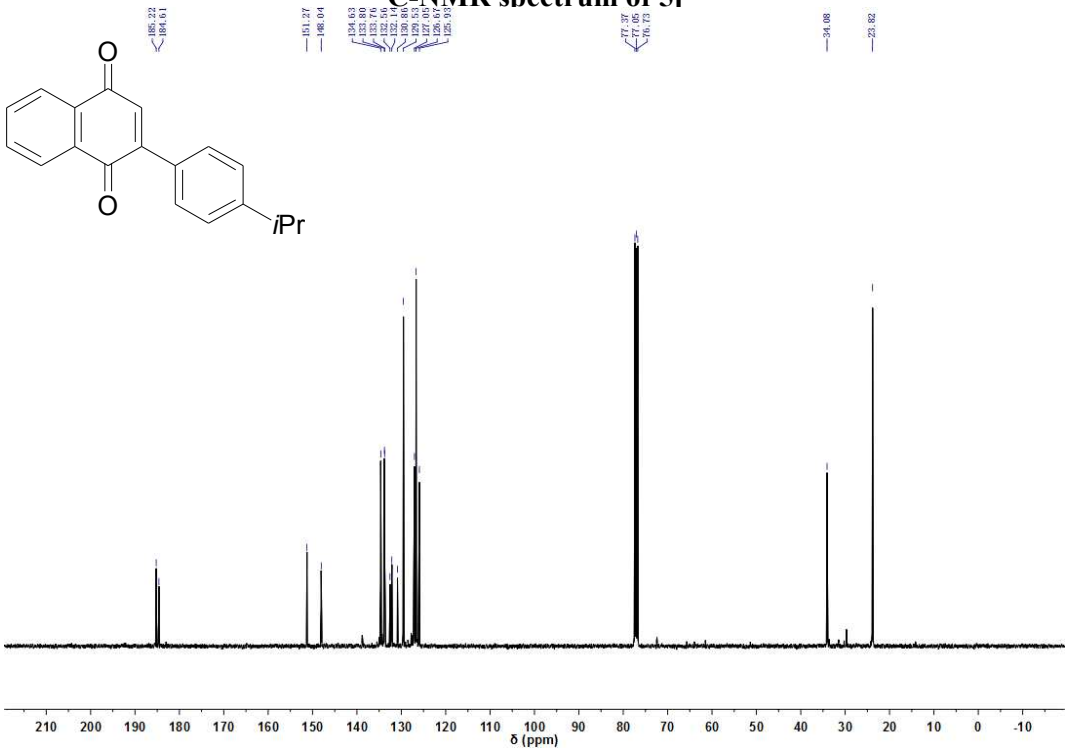
^{1}H-NMR spectrum of 5i



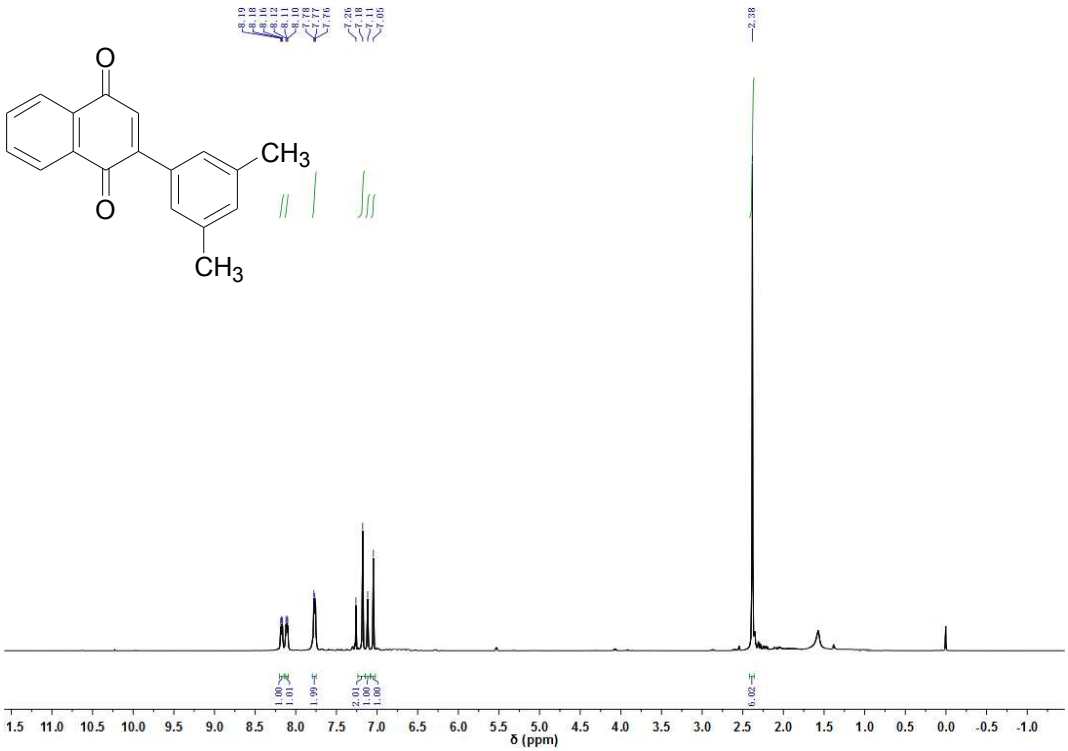
^{1}H-NMR spectrum of 5j



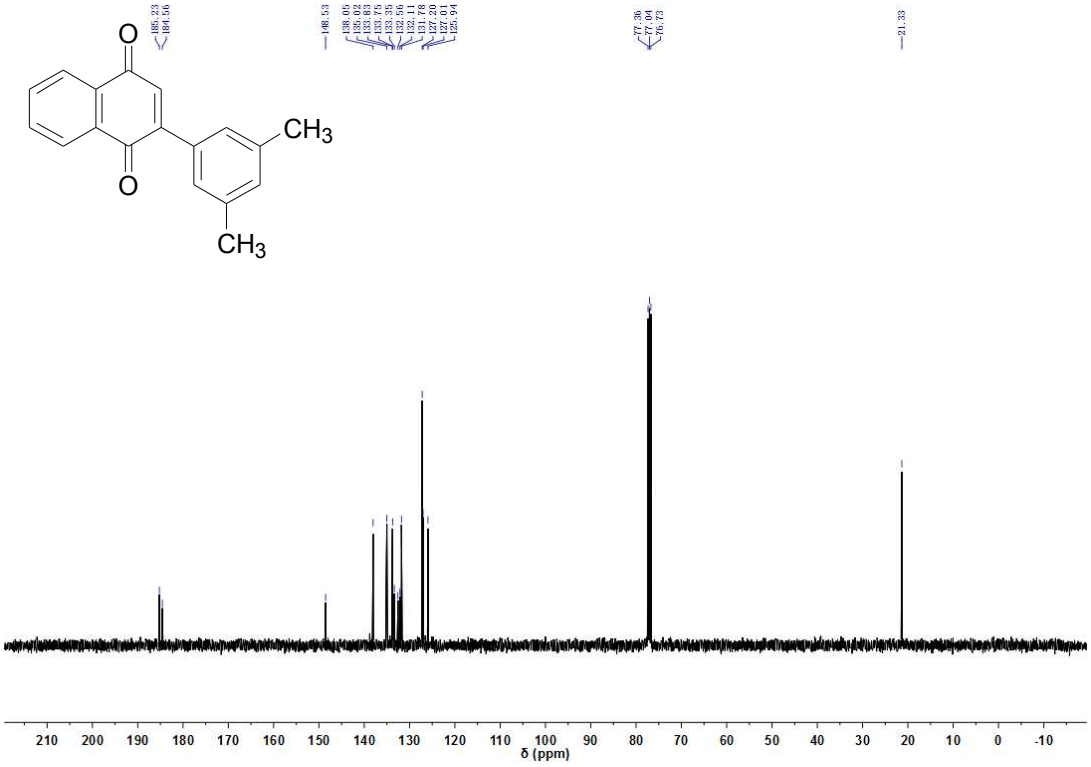
^{13}C-NMR spectrum of 5i



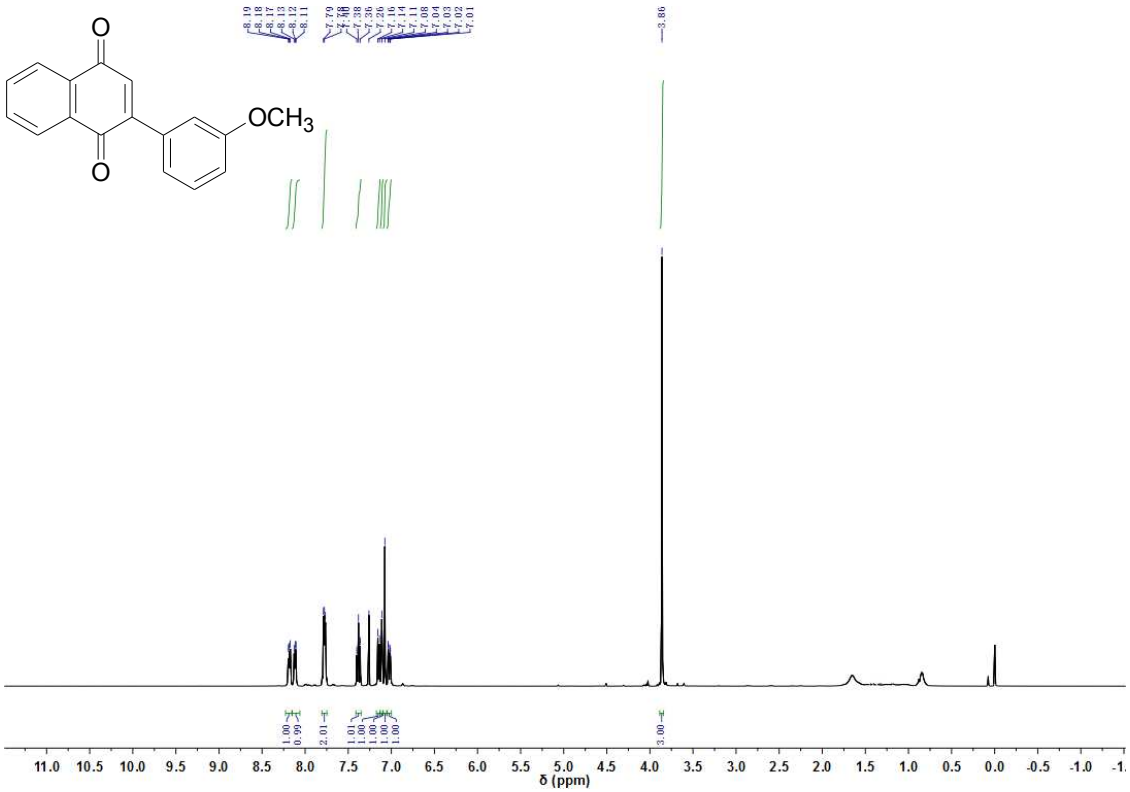
^{1}H-NMR spectrum of 5k



^{13}C-NMR spectrum of 5k



^{1}H-NMR spectrum of 5l



^{1}H-NMR spectrum of 5m