

Supporting Information

for

Phosphine-Mediated Redox Cyclization of 1-(2-Nitroaryl)prop-2-ynones to 3-Hydroxyquinolin-4-ones: Formal Intramolecular Oxyamination of α,β -Ynones

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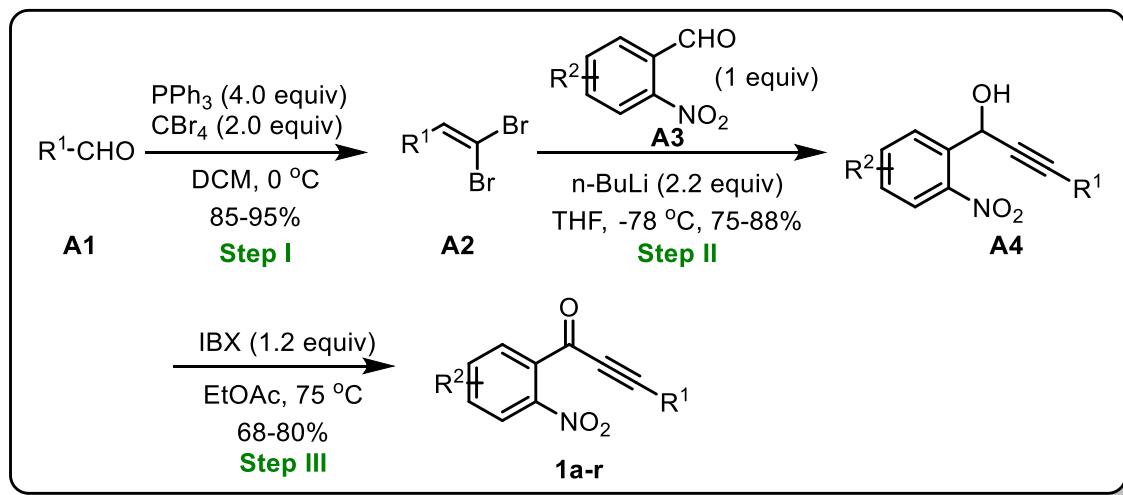
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S. No.	Contents	Page No.
1	General experimental methods	S2
2	General procedure-1: Synthesis of nitro yrones 1a-r	S3
3	General procedure-2: Optimization of reaction parameters for 2a	S4
4	General procedure-3: Evaluating the substrate scope of 2	S4
5	General procedure-4: One step elaboration to synthesize Japonine and its analogs	S5
6	General procedure-5: One step elaboration to synthesize 3,4-Dialkoxyquinolines and their one-pot assembly	S5
7	General procedure-6: One step elaboration to synthesize 3-Hydroxy-3-arylquinoline-2,4-dione (7)	S6
8	General procedure-7: Scale-up batch	S7
9	Control experiments to gain insights about the conversion of 1 to 2	S7
10	HRMS spectra of the crude reaction mixture of 1a	S9
11	The reaction of 1a and 3s in presence of $H_2^{18}O$	S9
12	Control experiments to gain insights about the conversion of 2 to 7	S11
13	Spectroscopic data of the newly synthesized compounds during the present study	S13
14	Crystal structure of 2j (CCDC 2058628)	S29
15	Copies of 1H and ^{13}C -NMR spectra of all the new compounds reported in this study	S31

General experimental methods: All the starting compounds and catalysts employed in this study were procured from Sigma-Aldrich and were used without further purification. For thin-layer chromatography (TLC), silica aluminium foils with fluorescent indicator 254 nm (from Aldrich) were used and compounds were visualized by irradiation with UV light and/or by treatment with a solution of *p*-anisaldehyde (23 mL), conc. H₂SO₄ (35 mL), and acetic acid (10 mL) in ethanol (900 mL) followed by heating (using a hot air gun). Column chromatography was performed using SD Fine silica gel 100-200 mesh (approximately 15-20 g per 1 g of the crude product). Dry THF was obtained by distillation over sodium and stored over sodium wire. DCM was obtained by distillation over calcium hydride and stored with 4 Å molecular sieves. IR spectra were recorded on a Perkin-Elmer FT-IR spectrometer as thin films or KBr pellet, as indicated, with ν_{max} in inverse centimeters. Melting points were recorded on a digital melting point apparatus Stuart SMP30 and were uncorrected. ¹H NMR and ¹³C NMR spectra were recorded on a 400 and 500 MHz Bruker Biospin Avance III FT-NMR spectrometer. NMR shifts are reported as delta (δ) units in parts per million (ppm) and coupling constants (J) are reported in Hertz (Hz). The following abbreviations are utilized to describe peak patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, and m = multiplet. Carbon chemical shifts are internally referenced to the deuterated solvent signals in CDCl₃ (δ 77.1 ppm) and (CD₃)₂SO (δ 39.5 ppm). Single crystal X-ray analysis was carried on a Rigaku XtaLAB mini-X-ray diffractometer. High-resolution mass spectra were recorded on a Waters QTOF mass spectrometer.

General procedure-1: Synthesis of nitro yrones **1a-r**

Nitro yones **1a-r** were prepared by using a three-step protocol.

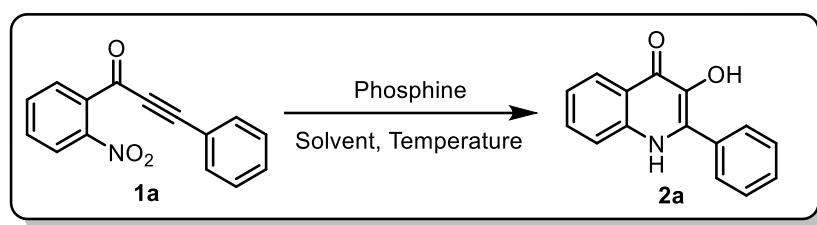


Representative procedure for step-1: To an oven-dried flask was added aldehydes **A1** ($R^1 = p$ -tolyl; 1.0 equiv, 4.16 mmol), CBr_4 (2.0 equiv, 8.33 mmol) in DCM. The flask was cooled to $0\text{ }^\circ\text{C}$ and then a solution of PPh_3 (4.0 equiv, 16.64 mmol) in DCM was dropwise added at inert atmosphere. The reaction mixture was allowed to stir for 2 h under N_2 atmosphere. After completion, reaction was quenched with water and extracted with DCM (2×10 mL). The combined organic phase was washed with brine (2×10 mL) and dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography with 10% ethyl acetate/hexane as an eluent to afford **A2** in 95% yield.

Representative procedure for step-2: The dibromo olefins **A2** ($R^1 = p$ -tolyl; 1.0 equiv, 3.66 mmol) were dissolved in THF (10 mL) in a flask under N_2 atmosphere. The solution was cooled to $-78\text{ }^\circ\text{C}$ and $n\text{-BuLi}$ (1.6 M in hexane, 2.2 equiv, 8.05 mmol) was added dropwise. The reaction mixture was stirred at the same temperature and then **A3** ($R^2 = \text{H}$; 1.0 equiv, 3.66 mmol) in THF was dropwise added into it maintaining inert atmosphere. After 30 min, the mixture was warmed to room temperature. The reaction was monitored by TLC and quenched with water and extracted with EtOAc (2×10 mL). The combined organic phase was washed with brine (2×10 mL) and dried over Na_2SO_4 and concentrated under reduced pressure. The crude mixture product was purified by silica gel column chromatography with 20% ethyl acetate/hexane as an eluent to afford **A4** in 87% yield.

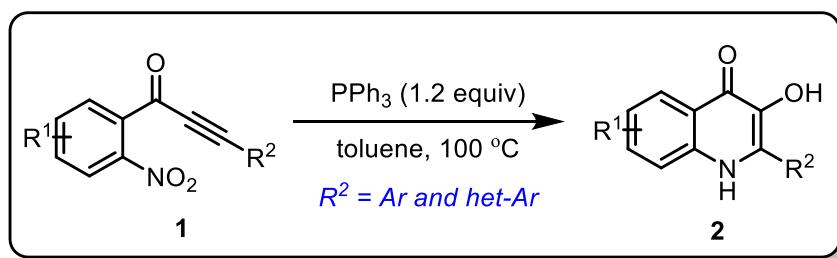
Representative procedure for step-3: Alcohols **A4** ($R^1 = p\text{-tolyl}$, $R^2 = \text{H}$; 1 equiv, 3.18 mmol) were dissolved in EtOAc (10 mL) in RB flask and IBX (1.2 equiv, 3.82 mmol) was added to it. After that the reaction mixture was refluxed at 75 °C (using oil bath). The reaction was continued to stir at the same temperature until the full consumption of starting material (monitored by TLC). Upon completion, the reaction was filtered through celite pad and filtrate was extracted with EtOAc (2 x 10 mL). The combined organic phase was washed with brine (2 x 10 mL) and dried over Na_2SO_4 and concentrated under reduced pressure. The crude mixture product was purified by silica gel column chromatography with 20% ethyl acetate/hexane as an eluent to afford **1** in 74% yield.

General procedure-2: Optimization of reaction parameters for **2a**



An oven-dried 5 mL glass vial was charged with nitro ynene **1a** (1.0 equiv, 0.08 mmol). An appropriate solvent (1.0 mL) and phosphine were introduced. The reaction mixture was stirred until **1a** disappeared (as detected by TLC). The reaction was quenched with water and extracted with EtOAc (2 x 2 mL). The organic extracts were combined, dried over Na_2SO_4 , and concentrated. The crude product was purified by silica gel chromatography using hexane/ethyl acetate as eluent (3:2) to afford **2a** as pale-yellow solid.

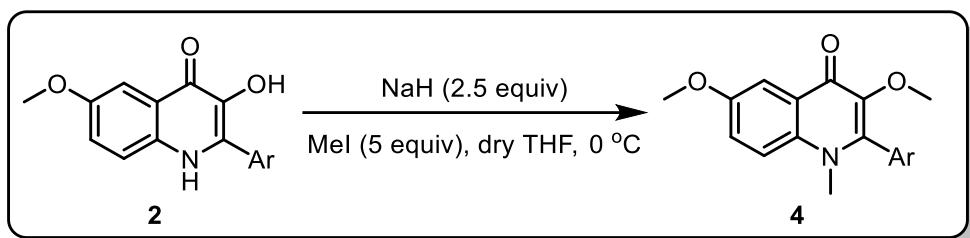
General procedure-3: Evaluating the substrate scope



An oven-dried 5 mL glass vial was charged with **1** (1.0 equiv). Toluene (1 mL) and triphenylphosphine (1.2 equiv) were introduced. The reaction mixture was stirred at 100 °C (in heating block) until **1** disappeared (as detected by TLC). The reaction was quenched with water

and extracted with EtOAc (2×2 mL). The organic extracts were combined, dried over Na₂SO₄, and concentrated. The crude product was purified by silica gel chromatography using hexane/ethyl acetate as eluent (3:2), to afford **2** in 21-90% yield.

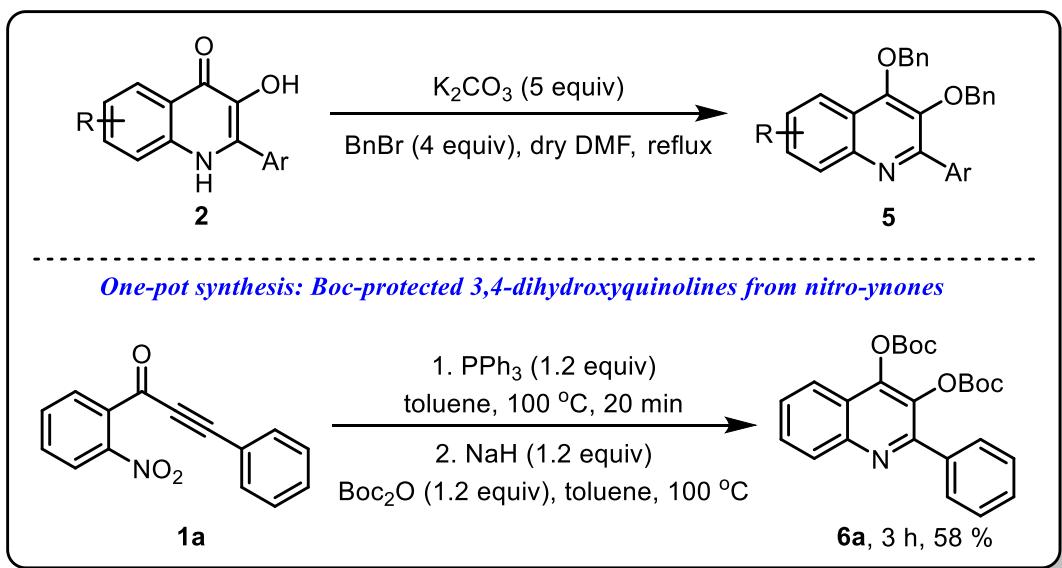
General procedure-4: One step elaboration to synthesize Japonine and its analogs



In an oven-dried RB flask was charged with NaH (60% oil suspension, 2.5 equiv, 0.27 mmol) in dry THF (5 mL) and placed at 0 °C under N₂ atmosphere. Compound **2e** (1.0 equiv, 0.11 mmol) was added dropwise in THF into it. The reaction was allowed to stir at the same temperature for 30 minutes. After 30 minutes, MeI (5.0 equiv, 0.55 mmol) was added to the reaction mixture at same temperature, and stirring was continued for the next 6 h. After complete consumption of starting material (monitored by TLC), the reaction was quenched with water and extracted with EtOAc (2 x 5 mL). The combined organic phase was washed with brine (2 x 5 mL) and dried over Na₂SO₄ and concentrated under reduced pressure. The crude mixture product was purified by silica gel column chromatography with 40% ethyl acetate/hexane as an eluent to afford **4e** in 90% yield.

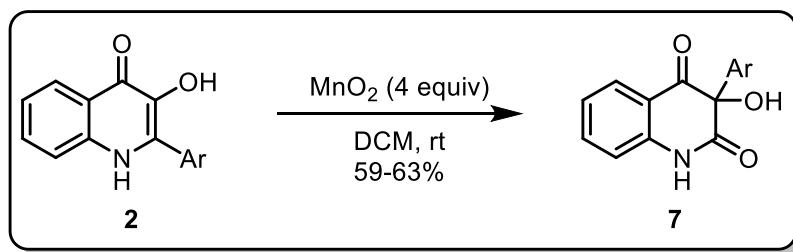
General procedure-5: One step elaboration to synthesize 3,4-Dialkoxyquinolines

In an oven-dried 25 mL RB flask was charged with compound **2a** (1.0 equiv, 0.12 mmol) in anhydrous DMF (5 mL) at room temperature and K₂CO₃ (5.0 equiv, 0.63 mmol) was added under the nitrogen atmosphere. The reaction was allowed to stir for 5 min. After 5 min, benzyl bromide (4.0 equiv, 0.48 mmol) was added. Then the reaction mixture was heated at 100 °C (using oil bath) for 5 h. After full consumption of the starting material the reaction was quenched with water and extracted with EtOAc (2 x 5 mL), dried over Na₂SO₄ and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography with 20% ethyl acetate/hexane as an eluent to afford **5a** in 71% yield.



One-pot synthesis: An oven-dried 5 mL glass vial was charged with **1a** (1.0 equiv, 0.1 mmol). Toluene (1 mL) and triphenylphosphine (1.2 equiv, 0.12 mmol) were introduced. The reaction mixture was stirred at 100 °C (in heating block) until **1a** disappeared (as detected by TLC). After 20 min, NaH (60% oil suspension, 1.5 equiv, 0.15 mmol) was added into it. After 5 min, Boc_2O (1.2 equiv, 0.12 mmol) was added. It was stirred for 3 h at 100 °C (in heating block). The reaction was quenched with water and extracted with EtOAc. The organic extracts were combined, dried over Na_2SO_4 , and concentrated. The crude product was purified by silica gel chromatography using hexane/ethyl acetate as eluent (3:2), to afford **6a** in 58% yield.

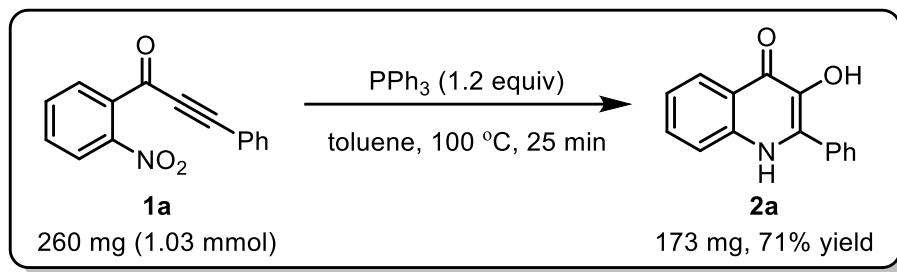
General procedure-6: One step elaboration to synthesize 3-Hydroxy-3-arylquinoline-2,4-dione (**7**)



An oven dried RB flask was charged with **2a** (1 equiv, 0.08 mmol) at room temperature and dissolved in DCM. Activated MnO_2 (4.0 equiv, 0.32 mmol) was then added to the reaction mixture and stirred for 15 h. After complete consumption of the starting material (monitored by TLC), reaction was quenched with water and extracted with DCM. The organic extracts

were combined, dried over Na_2SO_4 , and concentrated. The crude product was purified by silica gel chromatography using hexane/ethyl acetate as eluent (3:2), to afford **7a** in 60% yield.

General procedure-7: Scale-up batch



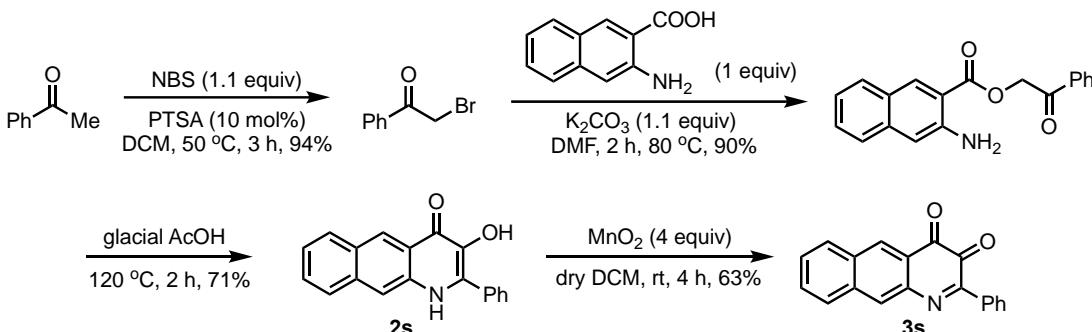
An oven-dried 10 mL glass vial was charged with **1a** (1.0 equiv, 1.03 mmol). Toluene (1 mL) and triphenylphosphine (1.2 equiv, 1.23 mmol) were then introduced. The reaction mixture was stirred at 100 °C in a heating block until **1a** disappeared (as detected by TLC). The reaction was quenched with water and extracted with EtOAc (2 x 5 mL). The organic extracts were combined, dried over Na_2SO_4 , and concentrated. The crude product was purified by silica gel chromatography using hexane/ethyl acetate as eluent (3:2), to afford **2a** in 71% yield.

Control experiments to gain insights about the conversion of **1** to **2**

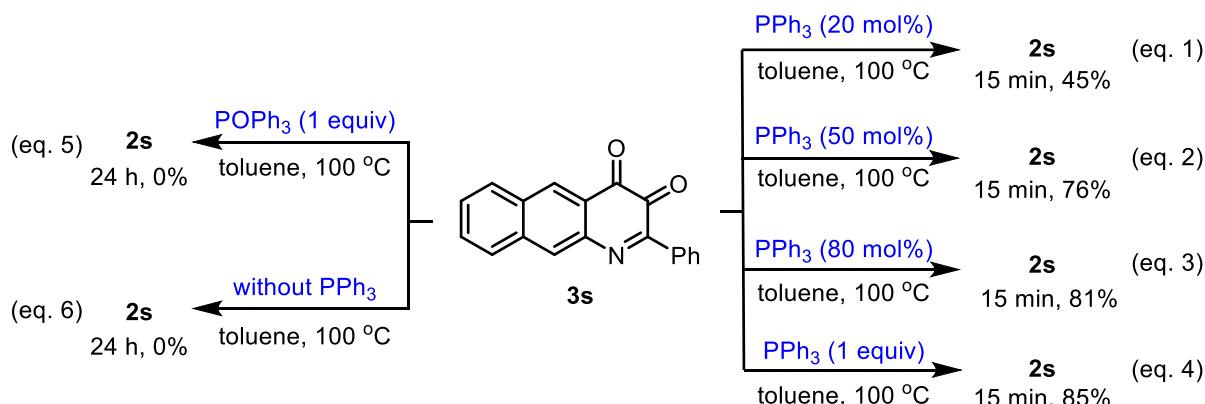
- (1) To ascertain the role of water on the conversion of **1** to **2**, we have performed control experiments with different amounts of water as the additive. From the results, it is evident that water plays a key role in the reaction.

1a	+	H_2O	$\xrightarrow[\text{dry toluene, 100 } ^\circ\text{C, 20 min}]{\text{PPh}_3 \text{ (1.2 equiv)}}$	2a
1 equiv		50 mol%		17%
1a	+	H_2O	$\xrightarrow[\text{dry toluene, 100 } ^\circ\text{C, 20 min}]{\text{PPh}_3 \text{ (1.2 equiv)}}$	2a
1 equiv		1 equiv		48%
1a	+	H_2O	$\xrightarrow[\text{dry toluene, 100 } ^\circ\text{C, 20 min}]{\text{PPh}_3 \text{ (1.2 equiv)}}$	2a
1 equiv		5 equiv		74%
1a	+	H_2O	$\xrightarrow[\text{dry toluene, 100 } ^\circ\text{C, 20 min}]{\text{PPh}_3 \text{ (1.2 equiv)}}$	2a
1 equiv		20 equiv		76%

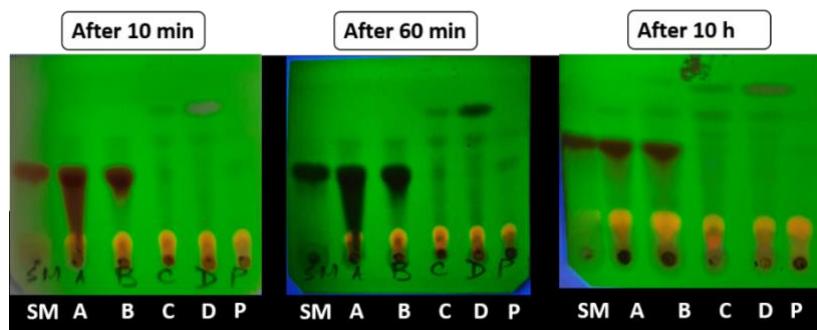
(2) To understand the mechanism of the conversion of **3** to **2**, we have prepared the diketone **3s** as described in the literature.^{1,2}



With **3s** in hand, we have performed various control experiments, as shown below. It is evident that the yield of **2s** increased with an increased amount of phosphine. On the other hand, no reaction was observed with triphenylphosphine oxide (indicating no role of TPPO in transforming **3s** to **2s**). Similarly, no reaction was observed in the absence of phosphine (ruling out the thermal transformation of **3s** to **2s**).



The progress of the reactions was monitored by TLC.



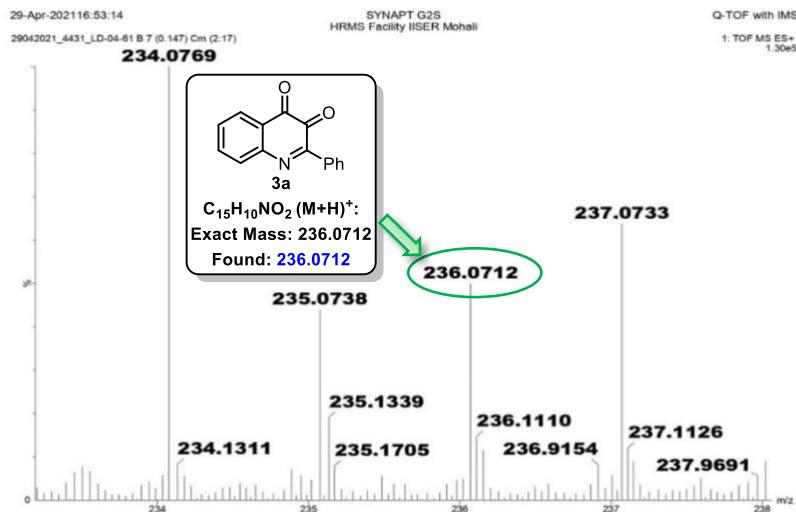
SM-**3s**, A-eq. 1, B-eq. 2, C-eq. 3, D-eq. 4, P-**2s**

1. (a) Bilokin, M. D.; Shvadchak, V. V.; Yushchenko, A. D.; Klymchenko, A. S.; Duportail, G.; Mely, Y.; Pivovarenko, V. G. *Tetrahedron Lett.* **2009**, *50*, 4714–4719. (b) Popova, M.; Lazzarus, L. S.; Ayad, S.; Benninghoff, A. D.; Berreau, L. M. *J. Am. Chem. Soc., C* **2018**, *140*, 9721–9729.

2. We could not reproduce the procedure described in ‘Spence, T. W. M.; Tennant, G. *J. Chem. Soc., C* **1971**, 3712–3719’ to synthesize **3a** from **2a**. Rather, the reaction of **2a** with MnO₂ produced 3-hydroxy-3-arylquinoline-2,4-dione **7a**.

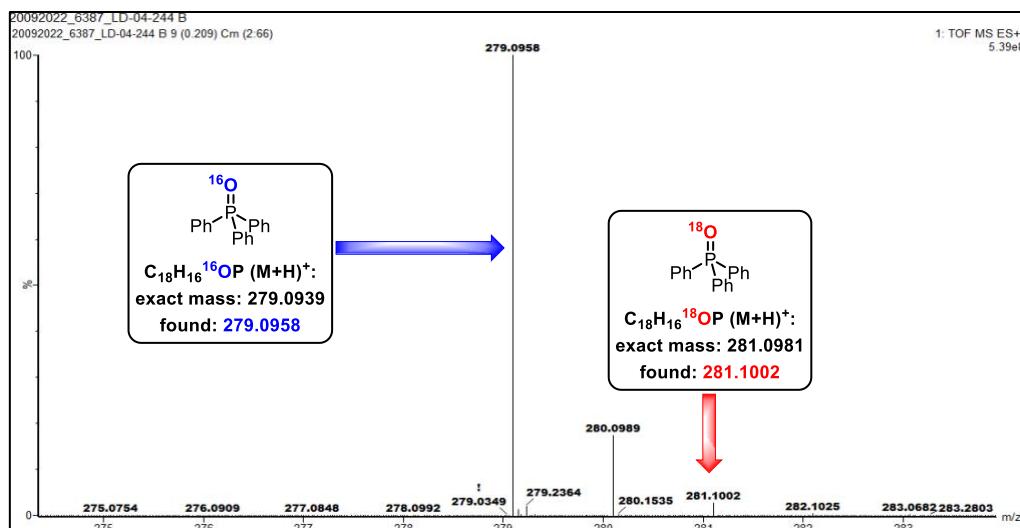
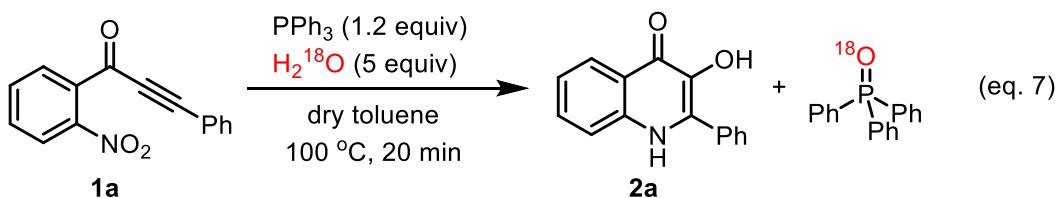
HRMS analysis of the crude reaction mixture of **1a**

The crude reaction mixture of **1a** (to **2a**) was subjected to HRMS analysis. The observation of m/z at 236.0712 [m/z calculated for (M+H)⁺: 236.0712] in the HRMS data indicated the prevalence of **3a**.

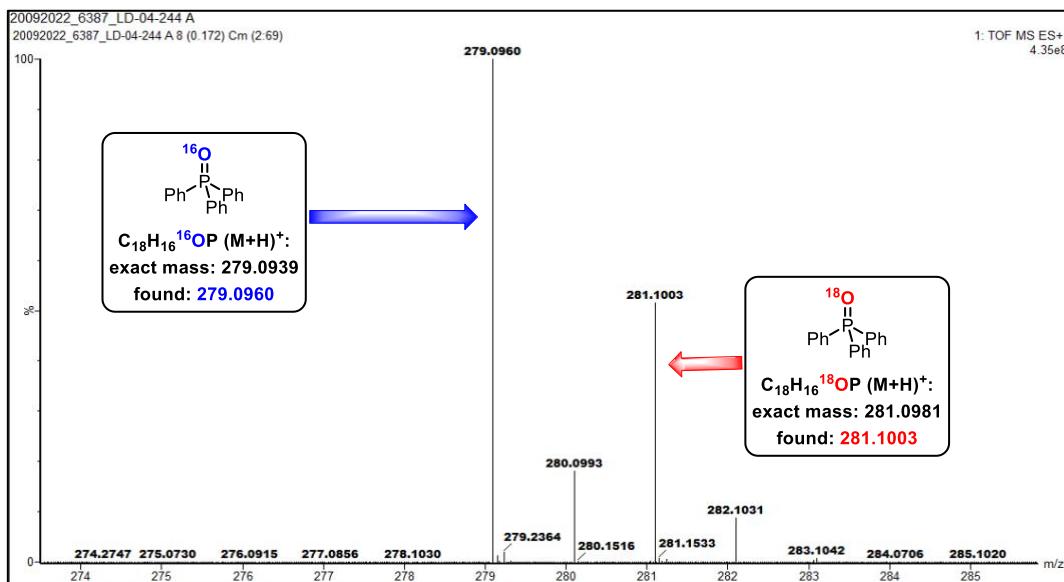


The reaction of **1a** and **3s** in presence of H₂¹⁸O

The role of water during the reaction was further elucidated by performing the reaction of **1a** in presence of ¹⁸O-labeled water under the optimized condition (eq. 7). The crude reaction mixture was analyzed by HRMS, which revealed the abundance of P(¹⁸O)Ph₃ increased with respect to the abundance of P(¹⁶O)Ph₃ obtained from the standard reaction.

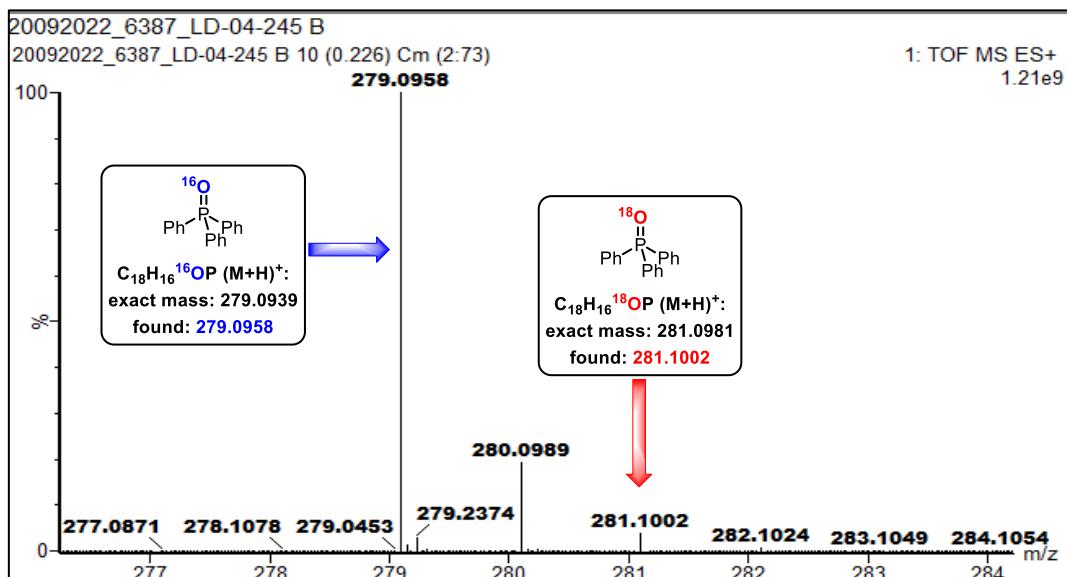
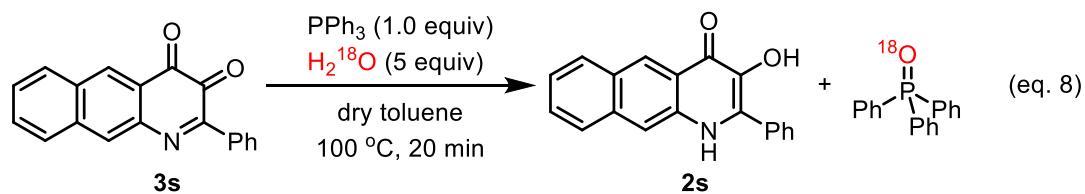


HRMS spectrum of the crude reaction mixture of **1a** under optimized condition

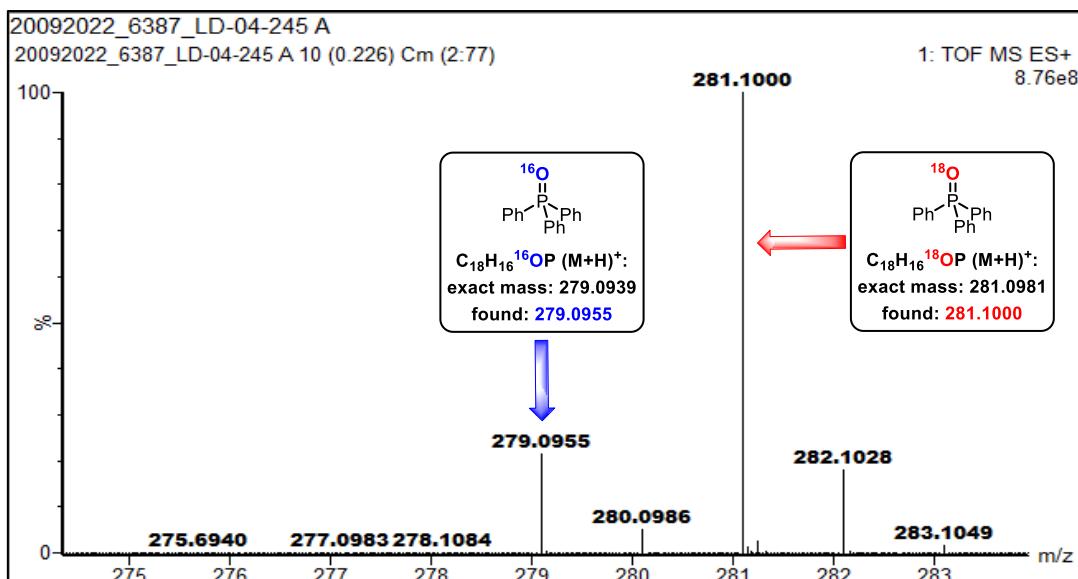


HRMS spectrum of the crude reaction mixture of **1a** in the presence of H_2^{18}O

We also performed the reaction of **3s** with ^{18}O -labeled water under the optimized condition (eq. 8). The crude reaction mixture was analyzed by HRMS, which revealed the abundance of $\text{P}^{(18}\text{O})\text{Ph}_3$ increased with respect to the abundance of $\text{P}^{(16}\text{O})\text{Ph}_3$ obtained from the standard reaction.



HRMS spectrum of the crude reaction mixture of **3s** under optimized condition

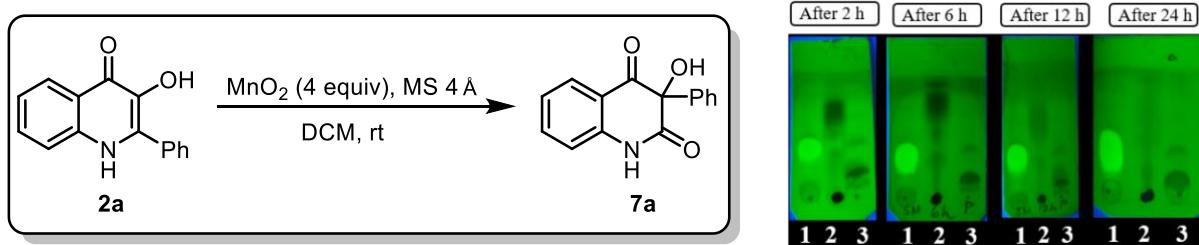


HRMS spectrum of the crude reaction mixture of **3s** in the presence of H_2^{18}O

These results confirm the nucleophilic addition of water onto the phosphonium center during the course of the reaction, thereby triggering the elimination of triphenylphosphine oxide (TPPO).

Control experiments to gain insights about the conversion of **2** to **7**

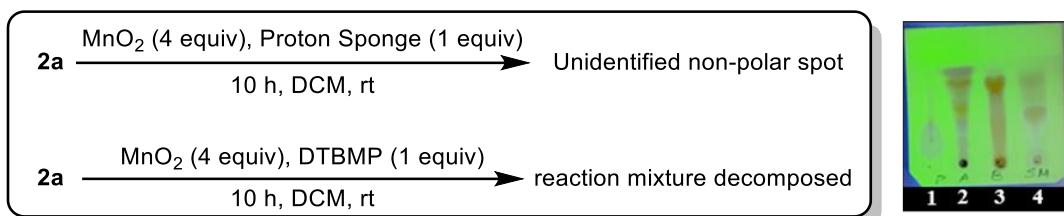
- (1) To ascertain the influence of moisture on the conversion of **2** to **7**, we performed an experiment with **2a** in the presence of 4 Å molecular sieves. The progress of the reaction was monitored by TLC at different time intervals. TLC profiles indicated that **7a** did not form even after 24 h. This observation suggests the importance of moisture for the semipinacol rearrangement step.



1-2a, 2-reaction mixture, 3-7a.

- (2) The reaction of **1a** with MnO_2 was performed in presence of proton scavengers [Proton Sponge and 2,6-di-*tert*-butyl-4-methylpyridine (DTBMP)] to ascertain the role of acid (H^+). The progress of the reactions was monitored by TLC. In the presence of the Proton Sponge, unidentified non-polar spots were observed. While in presence of DTBMP, the

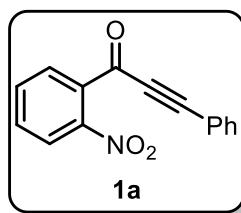
reaction mixture decomposed. These results indicated the prevalence of H⁺ during the transformation, which could have facilitated the semipinacol rearrangement.



1-**7a**, 2- DTBMP, 3- Proton Sponge, 4-**2a**.

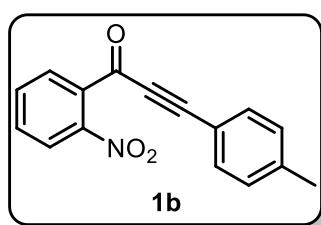
Spectroscopic data of the newly synthesized compounds during the present study

1-(2-Nitrophenyl)-3-phenylprop-2-yn-1-one (1a).



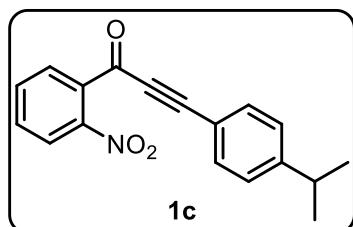
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 144-146 °C. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2901, 2198, 1650, 1573, 1536, 1360, 1292, 1209, 961, 759. **$^1\text{H NMR (400 MHz, CDCl}_3\text{)}$:** δ 7.91-7.89 (m, 2H), 7.76-7.67 (m, 2H), 7.60-7.58 (m, 2H), 7.48 (t, $J = 7.4$ Hz, 1H), 7.41-7.37 (m, 2H). **$^{13}\text{C NMR (100 MHz, CDCl}_3\text{)}$:** δ 175.7, 148.3, 133.8, 133.3 (2C), 133.0, 132.5, 131.3, 130.1, 128.7 (2C), 124.1, 119.3, 94.9, 86.7. **HRMS (ESI):** m/z calcd for $\text{C}_{15}\text{H}_9\text{NNaO}_3$ ($\text{M}+\text{Na}^+$): 274.0480, found: 274.0478.

1-(2-Nitrophenyl)-3-(*p*-tolyl)prop-2-yn-1-one (1b).



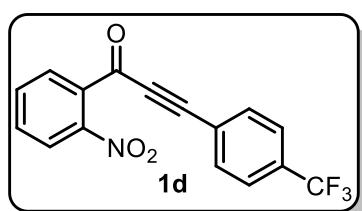
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 68-70 °C. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3033. 2922, 2194, 1649, 1574, 1536, 1349, 1207, 1180, 961, 785. **$^1\text{H NMR (400 MHz, CDCl}_3\text{)}$:** δ 7.90 (d, $J = 7.68$ Hz, 2H), 7.75-7.66 (m, 2H), 7.48 (d, $J = 8$ Hz, 2H), 7.18 (d, $J = 7.9$ Hz, 2H), 2.38 (s, 3H). **$^{13}\text{C NMR (100 MHz, CDCl}_3\text{)}$:** δ 175.7, 148.3, 142.2, 133.9, 133.4 (2C), 132.9, 132.4, 130.1, 129.5 (2C), 124.1, 116.2, 95.8, 86.7, 21.8. **HRMS (ESI):** m/z calcd for $\text{C}_{16}\text{H}_{11}\text{NNaO}_3$ ($\text{M}+\text{Na}^+$): 288.0637, found: 288.0635.

3-(4-Isopropylphenyl)-1-(2-nitrophenyl)prop-2-yn-1-one (1c).



This compound was prepared by following the general procedure-1 and isolated as pale-yellow liquid. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2962, 2929, 2191, 1650, 1574, 1537, 1349, 1183, 960, 785. **$^1\text{H NMR (400 MHz, CDCl}_3\text{)}$:** δ 7.92 (d, $J = 7.52$ Hz, 1H), 7.85 (d, $J = 7.8$ Hz, 1H), 7.75-7.66 (m, 2H), 7.51 (d, $J = 7.9$ Hz, 2H), 7.24 (d, $J = 8$ Hz, 2H), 2.94-2.87 (m, 1H), 1.22 (d, $J = 6.9$ Hz, 6H). **$^{13}\text{C NMR (100 MHz, CDCl}_3\text{)}$:** δ 175.6, 153.0, 148.3, 133.6, (2C), 133.5, 133.0, 132.7, 130.2, 127.0 (2C), 124.0, 116.4, 95.8, 86.7, 34.3, 23.5 (2C). **HRMS (ESI):** m/z calcd for $\text{C}_{18}\text{H}_{16}\text{NO}_3$ ($\text{M}+\text{H}^+$): 294.1130, found: 294.1123.

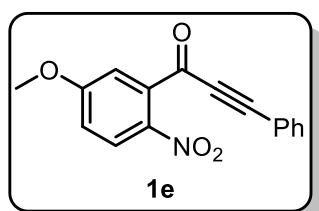
1-(2-Nitrophenyl)-3-(4-(trifluoromethyl)phenyl)prop-2-yn-1-one (1d).



This compound was prepared by following the general procedure-1 and isolated as pale-yellow liquid. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 2206, 1658, 1536, 1324, 1014, 846, 709. **$^1\text{H NMR}$ (400 MHz, CDCl₃):** δ 7.93 (dd, $J = 7.4$ and 1.3 Hz, 2H), 7.79 (dt, $J = 7.3$

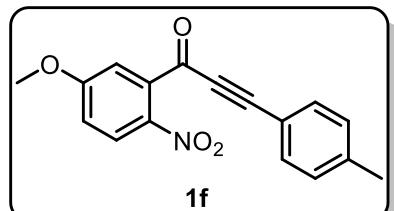
and 1.4 Hz, 1H), 7.75 (dd, $J = 7.7$ and 1.6 Hz, 1H), 7.72-7.64 (m, 4H). **$^{13}\text{C NMR}$ (100 MHz, CDCl₃):** δ 175.4, 148.2, 133.4 (2C), 133.28, 133.24, 133.0, 132.5 (d, $J = 32.7$, 1C), 130.1, 125.6 (q, $J = 3.6$ Hz, 1C), 124.2 (2C), 123.4 (q, $J = 270.8$ Hz, 1C), 123.1 (d, $J = 0.9$ Hz, 1C), 91.9, 87.6. **$^{19}\text{F NMR}$ (376.5 MHz, CDCl₃):** δ -63.19. **HRMS (ESI):** m/z calcd for C₁₆H₈F₃NNaO₃ (M+Na)⁺: 342.0354, found: 342.0336.

1-(5-Methoxy-2-nitrophenyl)-3-phenylprop-2-yn-1-one (1e).



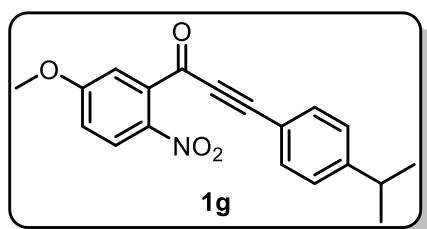
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 77-79 °C. $R_f = 0.4$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 2924, 2924, 2195, 1655, 1580, 1513, 1333, 1171, 996, 782. **$^1\text{H NMR}$ (400 MHz, CDCl₃):** δ 8.04 (d, $J = 9.0$ Hz, 1H), 7.55-7.53 (m, 2H), 7.47-7.44 (m, 1H), 7.38-7.35 (m, 2H), 7.12 (d, $J = 2.7$ Hz, 1H), 7.06 (dd, $J = 9.0$ Hz and 2.7 Hz, 1H), 3.93 (s, 3H). **$^{13}\text{C NMR}$ (100 MHz, CDCl₃):** δ 176.5, 163.6, 140.1, 137.8, 133.2 (3C), 131.2, 128.7 (3C), 126.9, 119.3, 116.0, 114.2, 56.3. **HRMS (ESI):** m/z calcd for C₁₆H₁₂NO₄ (M+H)⁺: 282.0766, found: 282.0781.

1-(5-Methoxy-2-nitrophenyl)-3-(*p*-tolyl)prop-2-yn-1-one (1f).



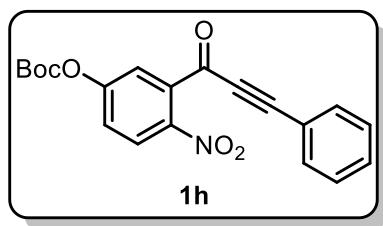
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 83-85 °C. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 2943, 2189, 1656, 1582, 1517, 1338, 1194, 1021, 760. **$^1\text{H NMR}$ (400 MHz, CDCl₃):** δ 8.04 (d, $J = 9.0$ Hz, 1H), 7.45 (d, $J = 7.5$ Hz, 2H), 7.17 (d, $J = 7.7$ Hz, 2H), 7.13-7.12 (m, 1H), 7.05 (d, $J = 9.0$ Hz, 1H), 3.94 (s, 3H), 2.37 (s, 3H). **$^{13}\text{C NMR}$ (100 MHz, CDCl₃):** δ 176.5, 163.6, 142.1, 140.2, 138.0, 133.3 (2C), 129.4 (2C), 126.8, 116.2, 116.1, 114.0, 95.5, 86.8, 56.3, 21.8. **HRMS (ESI):** m/z calcd for C₁₇H₁₃NNaO₄ (M+Na)⁺: 318.0742, found: 318.0738.

3-(4-Isopropylphenyl)-1-(5-methoxy-2-nitrophenyl)prop-2-yn-1-one (1g).



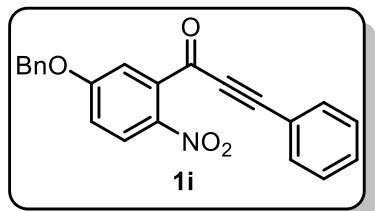
This compound was prepared by following the general procedure-1 and isolated as pale-yellow semi-solid. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2962, 2189, 1654, 1582, 1516, 1296, 1172, 1100, 836. **¹H NMR (400 MHz, CDCl₃):** δ 8.04 (d, $J = 9.0$ Hz, 1H), 7.50-7.47 (m, 2H), 7.24-7.22 (m, 2H), 7.13 (d, $J = 2.72$ Hz, 1H), 7.05 (dd, $J = 9.0$ and 2.76 Hz, 1H), 3.94 (s, 3H), 2.92 (sept, $J = 6.9$ Hz, 1H), 1.24 (d, $J = 6.9$ Hz, 6H). **¹³C NMR (100 MHz, CDCl₃):** δ 176.5, 163.6, 152.8, 140.2, 138.0, 133.5 (2C), 126.9 (2C), 126.8, 116.6, 116.1, 114.0, 95.6, 86.7, 56.3, 34.3, 23.6 (2C). **HRMS (ESI):** m/z calcd for C₁₉H₁₇NNaO₄ (M+Na)⁺: 346.1055, found: 346.1050.

tert-Butyl (4-nitro-3-(3-phenylpropioloyl)phenyl)carbonate (1h).



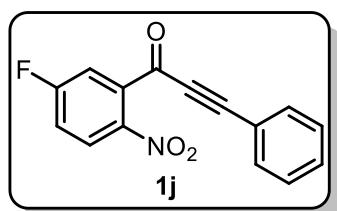
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 83-85 °C. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2934, 2197, 1658, 1586, 1532, 1371, 1173, 1028, 759. **¹H NMR (400 MHz, CDCl₃):** δ 8.00 (d, $J = 8.8$ Hz, 1H), 7.67 (d, $J = 1.9$ Hz, 1H), 7.59 (d, $J = 8.0$ Hz, 2H), 7.52-7.47 (m, 2H), 7.41-7.37 (m, 2H), 1.58 (s, 9H). **¹³C NMR (100 MHz, CDCl₃):** δ 174.7, 154.2, 150.2, 144.7, 136.0, 133.4, 131.4, 128.7 (2C), 125.9, 124.5, 122.5, 119.1 (2C), 95.4, 86.6, 85.3, 27.6 (3C). **HRMS (ESI):** m/z calcd for C₂₀H₁₇NO₆ (M+Na)⁺: 390.0954, found: 390.0947.

1-(5-(Benzylxy)-2-nitrophenyl)-3-phenylprop-2-yn-1-one (1i).



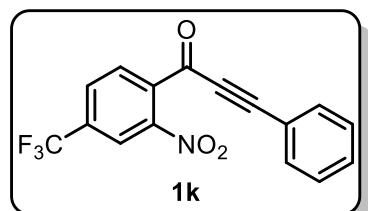
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 110-112 °C. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2926, 2197, 1657, 1580, 1518, 1336, 1175, 1012, 757. **¹H NMR (400 MHz, CDCl₃):** δ 8.03 (d, $J = 9.0$ Hz, 1H), 7.54 (d, $J = 7.8$ Hz, 2H), 7.48-7.35 (m, 8H), 7.22 (s, 1H), 7.12 (dd, $J = 8.9$ and 7.5 Hz, 1H), 5.18 (s, 2H). **¹³C NMR (100 MHz, CDCl₃):** δ 176.4, 162.6, 140.3, 137.8, 135.0, 133.3 (2C), 131.2, 128.9 (2C), 128.7, 128.6 (2C), 127.6 (2C), 126.9, 119.3, 116.8, 115.0, 94.7, 86.8, 71.0. **HRMS (ESI):** m/z calcd for C₂₂H₁₅NNaO₄ (M+Na)⁺: 380.0899, found: 380.0906.

1-(5-Fluoro-2-nitrophenyl)-3-phenylprop-2-yn-1-one (1j).



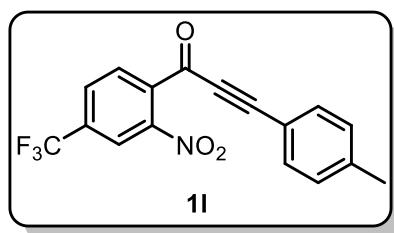
This compound was prepared by following general procedure-1 and isolated as white semi-solid. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm^{-1} 3079, 2193, 1653, 1532, 1443, 1070, 758. **1H NMR (400 MHz, CDCl₃):** δ 8.02 (dd, $J = 8.9$ and 4.5 Hz, 1H), 7.58-7.56 (m, 2H), 7.50-7.46 (m, 2H), 7.41-7.32 (m, 3H). **^{13}C NMR (100 MHz, CDCl₃):** δ 174.4 (d, $J = 1.21$ Hz, 1C), 164.5 (d, $J = 257.6$ Hz, 1C), 143.8 (d, $J = 2.42$ Hz, 1C), 137.1 (d, $J = 7.47$ Hz, 1C), 133.3 (2C), 131.6, 128.8 (2C), 127.1 (d, $J = 9.4$ Hz, 1C), 119.1, 118.9 (d, $J = 9.4$ Hz, 1C), 116.9 (d, $J = 25.1$ Hz, 1C), 95.7, 86.4. **^{19}F NMR (376.5 MHz, CDCl₃):** δ -101.74. **HRMS (ESI):** m/z calcd for C₁₅H₈FNNaO₃ (M+Na)⁺: 292.0386, found: 292.0364.

1-(2-Nitro-4-(trifluoromethyl)phenyl)-3-phenylprop-2-yn-1-one (1k).



This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 79-81 °C. $R_f = 0.6$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm^{-1} 2926, 2198, 1658, 1544, 1356, 1181, 1027, 996, 759. **1H NMR (400 MHz, CDCl₃):** δ 8.24 (s, 1H), 8.02-7.97 (m, 2H), 7.59 (d, $J = 7.5$ Hz, 2H), 7.52-7.49 (m, 1H), 7.43-7.39 (m, 2H). **^{13}C NMR (100 MHz, CDCl₃):** δ 174.5, 147.9, 137.2, 134.3 (d, $J = 34.48$ Hz, 1C), 133.4 (2C), 131.7, 130.6, 130.0 (q, $J = 3.4$ Hz, 1C), 128.8 (2C), 122.6 (q, $J = 271.6$ Hz, 1C), 121.4 (q, $J = 3.78$ Hz, 1C), 118.9, 96.4, 86.4. **^{19}F NMR (376.5 MHz, CDCl₃):** δ -61.51. **HRMS (ESI):** m/z calcd for C₁₆H₉F₃NO₃ (M+H)⁺: 320.0535, found: 320.0536.

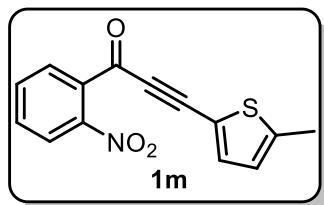
1-(2-Nitro-4-(trifluoromethyl)phenyl)-3-(*p*-tolyl)prop-2-yn-1-one (1l).



This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 79-81 °C. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm^{-1} 2925, 2194, 1656, 1545, 1355, 1181, 1013, 760. **1H NMR (400 MHz, CDCl₃):** δ 8.21 (s, 1H), 7.99 (s, 2H), 7.48 (d, $J = 8.1$ Hz, 2H), 7.21 (d, $J = 7.9$ Hz, 2H), 2.39 (s, 3H). **^{13}C NMR (100 MHz, CDCl₃):** δ 174.5, 147.9, 142.7, 137.2, 134.7 (q, $J = 34.2$ Hz, 1C), 133.5 (2C), 130.6, 129.9 (q, $J = 3.43$ Hz, 1C), 129.6 (2C), 121.3 (q, $J = 3.6$ Hz, 1C), 122.3 (q, $J = 271.7$ Hz, 1C), 115.7, 97.3, 86.5,

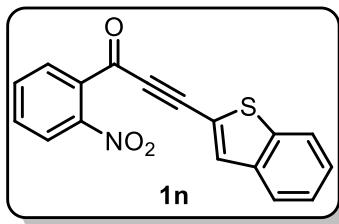
21.8. **¹⁹F NMR (376.5 MHz, CDCl₃):** δ -63.10. **HRMS (ESI):** m/z calcd for C₁₇H₁₁F₃NO₃ (M+H)⁺: 334.0691, found: 334.0690.

3-(5-Methylthiophen-2-yl)-1-(2-nitrophenyl)prop-2-yn-1-one (1m).



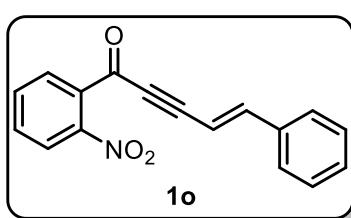
This compound was prepared by following the general procedure-1 and isolated as pale-yellow semi-solid. R_f = 0.8 (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm⁻¹ 2921, 2173, 1642, 1535, 1039. **¹H NMR (400 MHz, CDCl₃):** δ 7.89-7.86 (m, 2H), 7.75-7.66 (m, 2H), 7.35 (d, J = 3.7 Hz, 1H), 6.75-6.74 (m, 1H), 2.51 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 175.1, 148.8, 148.2, 138.5, 133.6, 132.9, 132.4, 130.0, 126.6, 124.1, 116.4, 91.7, 90.3, 15.7. **HRMS (ESI):** m/z calcd for C₁₄H₉NNaO₃S (M+Na)⁺: 294.0201, found: 294.0201.

3-(Benzo[b]thiophen-2-yl)-1-(2-nitrophenyl)prop-2-yn-1-one (1n).



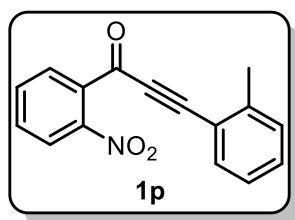
This compound was prepared by following the general procedure-1 and isolated as pale yellow semi-solid. R_f = 0.7 (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm⁻¹ 2958, 2180, 1646, 1532, 1310, 984, 750. **¹H NMR (400 MHz, CDCl₃):** δ 7.93-7.88 (m, 2H), 7.82-7.67 (m, 5H), 7.47-7.38 (m, 2H). **¹³C NMR (100 MHz, CDCl₃):** δ 175.1, 148.1, 142.0, 138.4, 134.9, 133.5, 133.1, 132.7, 130.1, 127.2, 125.3, 124.9, 124.2, 122.2, 118.8, 91.9, 88.6. **HRMS (ESI):** m/z calcd for C₁₇H₉NNaO₃S (M+Na)⁺: 330.0201, found: 330.0175.

(E)-1-(2-Nitrophenyl)-5-phenylpent-4-en-2-yn-1-one (1o).



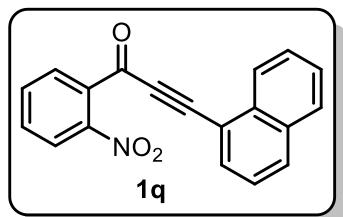
This compound was prepared by following the general procedure-1 and isolated as pale-yellow liquid. R_f = 0.7 (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm⁻¹ 2934, 2197, 1658, 1586, 1532, 1371, 1173, 1028, 759. **¹H NMR (400 MHz, CDCl₃):** δ 7.88-7.85 (m, 2H), 7.74-7.64 (m, 2H), 7.43-7.41 (m, 2H), 7.37-7.35 (m, 3H), 7.27 (d, J = 16.0 Hz, 1H), 6.27 (d, J = 16.2 Hz, 1H). **¹³C NMR (100 MHz, CDCl₃):** δ 175.6, 149.3, 148.1, 134.8, 133.8, 133.0, 132.5, 130.5, 130.2, 129.0 (2C), 127.2 (2C), 124.1, 104.6, 95.0, 88.8. **HRMS (ESI):** m/z calcd for C₁₇H₁₁NNaO₃ (M+Na)⁺: 300.0637, found: 300.0650.

1-(2-Nitrophenyl)-3-(*o*-tolyl)prop-2-yn-1-one (1p**).**



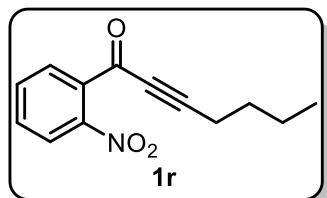
This compound was prepared by following the general procedure-1 and isolated as pale-yellow solid. M.P- 103-105 °C. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2923, 2190, 1641, 1573, 1532, 1349, 1163, 1011, 759. **¹H NMR (400 MHz, CDCl₃):** δ 7.91 (t, $J = 8.5$ Hz, 2H), 7.77-7.66 (m, 2H), 7.54 (d, $J = 7.6$ Hz, 1H), 7.36 (t, $J = 7.5$ Hz, 1H), 7.25-7.18 (m, 2H), 2.45 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 175.8, 148.1, 142.5, 134.2, 133.8, 133.0, 132.4, 131.3, 130.0, 129.9, 125.9, 124.2, 119.2, 94.1, 90.6, 20.6. **HRMS (ESI):** m/z calcd for C₁₆H₁₁NNaO₃ (M+Na)⁺: 288.0637, found: 288.0645.

3-(Naphthalen-1-yl)-1-(2-nitrophenyl)prop-2-yn-1-one (1q**).**



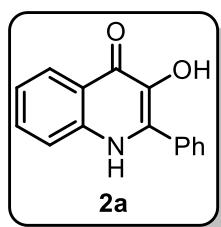
This compound was prepared by following the general procedure-1 and isolated as pale-yellow semi-solid. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3062, 2353, 1698, 1530, 1443, 1082, 771. **¹H NMR (400 MHz, CDCl₃):** δ 8.24 (d, $J = 8.2$ Hz, 1H), 7.99-7.95 (m, 3H), 7.89-7.85 (m, 2H), 7.77 (dt, $J = 7.5$ and 1.3 Hz, 1H), 7.72-7.68 (m, 1H), 7.63-7.60 (m, 1H), 7.58-7.54 (m, 1H), 7.50-7.46 (m, 1H). **¹³C NMR (100 MHz, CDCl₃):** δ 175.7, 148.2, 134.2, 133.8, 133.5, 133.1, 133.0, 132.5, 132.1, 130.1, 128.6, 127.9, 127.0, 125.6, 125.2, 124.3, 116.8, 93.4, 91.5. **HRMS (ESI):** m/z calcd for C₁₉H₁₁NNaO₃ (M+Na)⁺: 324.0637, found: 324.0653.

1-(2-Nitrophenyl)hept-2-yn-1-one (1r**).**



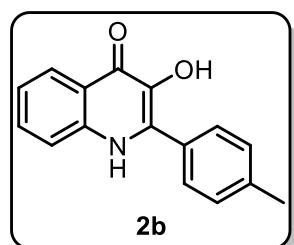
This compound was prepared by following the general procedure-1 and isolated as pale-yellow liquid. $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2960, 2214, 1655, 1533, 1351, 1260, 752. **¹H NMR (400 MHz, CDCl₃):** δ 7.86 (d, $J = 7.7$ Hz, 1H), 7.82 (d, $J = 7.4$ Hz, 1H), 7.73-7.65 (m, 2H), 2.43 (t, $J = 7.0$ Hz, 2H), 1.59 (quint, $J = 7.0$ Hz, 2H), 1.43 (sext, $J = 7.4$ Hz, 2H), 0.92 (t, $J = 7.2$ Hz, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 176.0, 148.0, 134.0, 132.9, 132.3, 130.0, 124.0, 99.2, 79.7, 29.5, 21.9, 18.9, 13.4. **HRMS (ESI):** m/z calcd for C₁₃H₁₃NNaO₃ (M+Na)⁺: 254.0793, found: 254.0775.

3-Hydroxy-2-phenylquinolin-4-(1*H*)-one (2a**).**



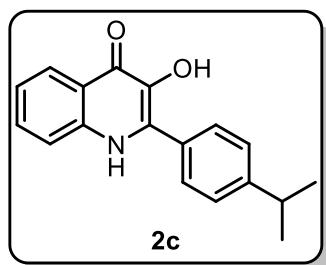
This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1a** afforded 17 mg of **2a** (77% yield). M.P- 273-275 °C. $R_f = 0.3$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3417, 1650, 1262, 1048, 998, 765. **¹H NMR (500 MHz, CDCl₃):** δ 11.57 (s, 1H), 8.17-8.15 (m, 1H), 7.82-7.80 (m, 2H), 7.73-7.71 (m, 1H), 7.64-7.50 (m, 5H), 7.27 (t, $J = 7.4$ Hz, 1H). **¹³C NMR (125 MHz, DMSO-d⁶):** δ 170.4, 138.5, 138.2, 132.8, 131.9, 131.0, 129.7 (2C), 129.7, 128.7 (2C), 124.9, 122.3, 122.2, 118.9. **HRMS (ESI):** m/z calcd for C₁₅H₁₂NO₂ (M+H)⁺: 238.0868, found: 238.0857.

3-Hydroxy-2-(*p*-tolyl)quinolin-4-(1*H*)-one (2b**).**



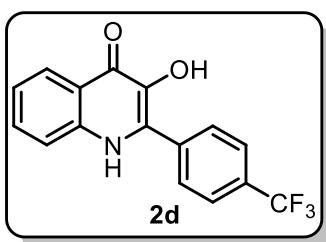
This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1b** afforded 18 mg of **2b** (78% yield). M.P- 270-272 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3417, 1657, 1050, 1026, 825, 764. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.51 (s, 1H), 8.14 (d, $J = 8.1$ Hz, 1H), 7.73-7.70 (m, 3H), 7.58 (t, $J = 8.0$ Hz, 1H), 7.38 (d, $J = 8.0$ Hz, 2H), 7.26 (t, $J = 7.4$ Hz, 1H), 2.40 (s, 3H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 170.3, 139.3, 138.4, 138.2, 131.9, 130.9, 129.9, 129.5 (2C), 129.2 (2C), 124.8, 122.2, 122.1, 118.8, 21.4. **HRMS (ESI):** m/z calcd for C₁₆H₁₄NO₂ (M+H)⁺: 252.1025, found: 252.1013.

3-Hydroxy-2-(4-isopropylphenyl)quinolin-4(1*H*)-one (2c**).**



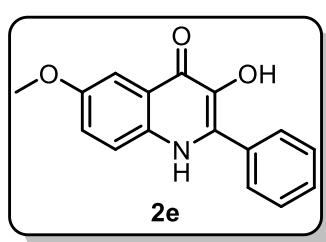
This compound was prepared by following general procedure-3 and isolated as yellow solid. 25 mg of **1c** afforded 19 mg of **2c** (79% yield). M.P- 243-245 °C. $R_f = 0.5$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3417, 1660, 1050, 1026, 825, 763. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.55 (s, 1H), 8.15 (d, $J = 8.0$ Hz, 1H), 7.72-7.69 (m, 3H), 7.59-7.56 (m, 1H), 7.42 (d, $J = 7.9$ Hz, 2H), 7.26 (t, $J = 7.4$ Hz, 1H), 3.00-2.93 (m, 1H), 1.24 (d, $J = 6.8$ Hz, 6H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 170.3, 150.2, 138.4, 138.1, 132.1, 130.9, 130.3, 129.7 (2C), 126.7 (2C), 124.9, 122.29, 122.26, 118.8, 33.8, 24.2 (2C). **HRMS (ESI):** m/z calcd for C₁₈H₁₈NO₂ (M+H)⁺: 280.1338, found: 280.1345.

3-Hydroxy-2-(4-(trifluoromethyl)phenyl)quinolin-4(1*H*)-one (2d**).**



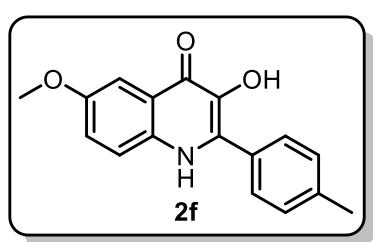
This compound was prepared by following the general procedure-3 and isolated as white solid. 25 mg of **1d** afforded 14 mg of **2d** (58% yield). M.P- 318-320 °C. $R_f = 0.3$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3461, 1660, 1024, 822, 756. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.66 (s, 1H), 8.15 (d, $J = 9.1$ Hz, 1H), 8.02-8.00 (m, 2H), 7.94-7.92 (m, 2H), 7.70-7.68 (m, 1H), 7.62-7.58 (m, 1H), 7.29-7.25 (m, 1H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 170.7, 138.6 (d, $J = 6.0$ Hz, 1C), 136.8, 131.3, 130.6 (4C), 130.2, 129.8, 129.5, 125.6 (q, $J = 3.1$ Hz, 1C), 124.9, 124.2 (d, $J = 270.6$ Hz, 1C), 122.4 (d, $J = 9.2$ Hz, 1C), 118.9. **¹⁹F NMR (376.5 MHz, DMSO-d⁶):** δ -61.16. **HRMS (ESI):** m/z calcd for C₁₆H₁₁F₃NO₂ (M+H)⁺: 306.0742, found: 306.0768.

3-Hydroxy-6-methoxy-2-phenylquinolin-4(1*H*)-one (2e**).**



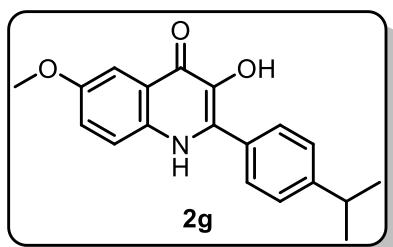
This compound was prepared by following the general procedure-3 and isolated as white solid. 25 mg of **1e** afforded 19 mg of **2e** (80% yield). M.P- 282-284 °C. $R_f = 0.3$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3401, 2990, 1649, 1555, 1484, 1184, 1071, 995, 753. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.60 (s, 1H), 7.81-7.79 (m, 2H), 7.68 (d, $J = 9.1$ Hz, 1H), 7.58-7.48 (m, 5H), 7.26 (dd, $J = 9.16$ Hz and 2.92 Hz, 1H), 3.85 (s, 3H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 169.4, 155.1, 137.7, 133.5, 132.8, 131.3, 129.66 (2C), 129.66, 128.7 (2C), 123.0, 122.5, 120.7, 103.0, 55.7. **HRMS (ESI):** m/z calcd for C₁₆H₁₄NO₃ (M+H)⁺: 268.0974, found: 268.0977.

3-Hydroxy-6-methoxy-2-(*p*-tolyl)quinolin-4(1*H*)-one (2f**).**



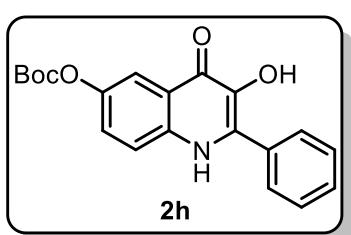
This compound was prepared by following the general procedure-3 and isolated as white semi-solid. 25 mg of **1f** afforded 18 mg of **2f** (75% yield). $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3410, 2255, 1660, 1023, 998, 824, 761. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.52 (s, 1H), 7.71-7.66 (m, 3H), 7.48 (d, $J = 2.84$ Hz, 1H), 7.37 (d, $J = 8.1$ Hz, 2H), 7.25 (dd, $J = 9.1$ and 2.8 Hz, 1H), 3.85 (s, 3H), 2.40 (s, 3H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 169.3, 155.0, 139.2, 137.7, 133.5, 131.3, 129.9, 129.5 (2C), 129.2 (2C), 122.9, 122.4, 120.7, 103.0, 55.7, 21.4. **HRMS (ESI):** m/z calcd for C₁₇H₁₆NO₃ (M+H)⁺: 282.1130, found: 282.1140.

3-Hydroxy-2-(4-isopropylphenyl)-6-methoxyquinolin-4(1H)-one (2g).



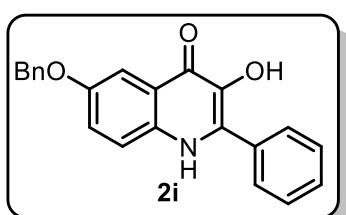
This compound was prepared by following the general procedure-3 and isolated as white solid. 25 mg of **1g** afforded 19 mg of **2g** (78% yield). M.P- 268-270 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3448, 2921, 1614, 1569, 1481, 1171, 1026, 764. **¹H NMR (400 MHz, DMSO-d₆):** δ 11.56 (s, 1H), 7.71 (d, $J = 8.0$ Hz, 2H), 7.66 (d, $J = 9.0$ Hz, 1H), 7.51 (d, $J = 2.7$ Hz, 1H), 7.41 (d, $J = 8.1$ Hz, 2H), 7.25 (dd, $J = 9.0$ and 2.7 Hz, 1H), 3.85 (s, 3H), 2.96 (sept, $J = 6.8$ Hz, 1H), 1.24 (d, $J = 6.8$ Hz, 6H). **¹³C NMR (100 MHz, DMSO-d₆):** δ 169.4, 155.0, 150.1, 137.7, 133.4, 131.6, 130.4, 129.6 (2C), 126.6 (2C), 123.0, 122.4, 120.7, 103.0, 55.7, 33.8, 24.2 (2C). **HRMS (ESI):** m/z calcd for C₁₉H₂₀NO₃ (M+H)⁺: 310.1443, found: 310.1442.

tert-Butyl (3-hydroxy-4-oxo-2-phenyl-1,4-dihydroquinolin-6-yl) carbonate (2h).



This compound was prepared by following the general procedure-3 and isolated as white solid. 25 mg of **1h** afforded 22 mg of **2h** (90% yield). M.P- 270-272 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3417, 1660, 1050, 1005, 764. **¹H NMR (400 MHz, DMSO-d₆):** δ 11.73 (s, 1H), 7.85 (d, $J = 4.8$ Hz, 1H), 7.81-7.79 (m, 2H), 7.76 (d, $J = 9.1$ Hz, 1H), 7.59-7.50 (m, 3H), 7.45 (dd, $J = 9.0$ and 2.7 Hz, 1H), 1.51 (s, 9H). **¹³C NMR (100 MHz, DMSO-d₆):** δ 169.9, 151.9, 145.8, 138.1, 136.2, 132.6, 132.4, 129.8, 129.7 (2C), 128.7 (2C), 125.6, 122.6, 120.6, 115.7, 83.8, 27.7 (3C). **HRMS (ESI):** m/z calcd for C₂₀H₂₀NO₅ (M+H)⁺: 354.1341, found: 354.1363.

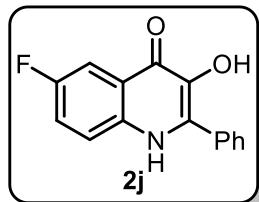
6-(Benzylxy)-3-hydroxy-2-phenylquinolin-4(1H)-one (2i).



This compound was prepared by following the general procedure-3 and isolated as white solid. 25 mg of **1i** afforded 18 mg of **2i** (75% yield). M.P- 302-304 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 3410, 1659, 1023, 761. **¹H NMR (400 MHz, DMSO-d₆):** δ 11.60 (s, 1H), 7.79 (d, $J = 7.7$ Hz, 2H), 7.70 (d, $J = 9.1$ Hz, 1H), 7.62-7.57 (m, 3H), 7.52-7.50 (m, 3H), 7.41 (t, $J = 7.0$ Hz, 3H), 7.36-7.33 (m, 2H), 5.21 (s, 2H). **¹³C NMR (100 MHz, DMSO-d₆):** δ 169.4, 154.1, 137.7, 137.4, 133.6, 132.8, 131.4, 129.6 (2C), 128.9, 128.8 (2C), 128.7 (2C),

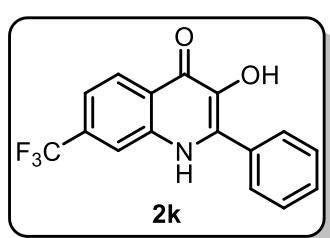
128.3, 128.2 (2C), 123.0, 122.9, 120.8, 104.5, 69.9. **HRMS (ESI):** m/z calcd for C₂₂H₁₈NO₃ (M+H)⁺: 344.1287, found: 344.1296.

6-Fluoro-3-hydroxy-2-phenylquinolin-4(1*H*)-one (2j**).**



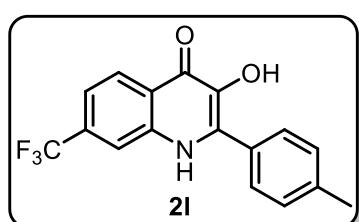
This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1j** afforded 17 mg of **2j** (73% yield). M.P- 233-235 °C. R_f = 0.4 (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 3418, 1659, 1050, 1004, 763. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.74 (s, 1H), 7.80-7.78 (m, 4H), 7.63-7.50 (m, 5H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 169.6 (d, J = 2.9 Hz, 1C), 157.9 (d, J = 239.1 Hz, 1C), 138.0, 135.2, 133.9 (d, J = 9.3 Hz, 1C), 132.6 (d, J = 8.6 Hz, 1C), 129.7 (2C), 129.4 (d, J = 12.16 Hz, 1C), 128.7 (2C), 123.0 (d, J = 7.2 Hz, 1C), 121.7 (d, J = 8.1 Hz, 1C), 120.3 (d, J = 25.9 Hz, 1C), 108.2 (d, J = 21.8 Hz, 1C). **¹⁹F NMR (376.5 MHz, DMSO-d⁶):** δ -119.40. **HRMS (ESI):** m/z calcd for C₁₅H₁₁FNO₂ (M+H)⁺: 256.0774, found: 256.0784.

3-Hydroxy-2-phenyl-7-(trifluoromethyl)quinolin-4(1*H*)-one (2k**).**



This compound was prepared by following general procedure-3 and isolated as yellow semi-solid. 25 mg of **1k** afforded 17.2 mg of **2k** (72% yield). R_f = 0.6 (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 3418, 1660, 1051, 1026, 763. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.91 (s, 1H), 8.35 (d, J = 8.5 Hz, 1H), 8.12 (s, 1H), 7.83 (d, J = 7.2 Hz, 2H), 7.61-7.51 (m, 4H). **¹³C NMR (100 MHz, DMSO-d⁶):** δ 170.0, 139.5, 137.4, 133.1, 132.4, 130.8 (q, J = 31.6 Hz, 1C), 130.0, 129.6 (2C), 128.8 (2C), 126.9, 124.4 (q, J = 271.0 Hz, 1C), 124.1, 117.6 (q, J = 2.74 Hz, 1C), 116.7 (q, J = 2.27 Hz, 1C). **¹⁹F NMR (376.5 MHz, DMSO-d⁶):** δ -61.51. **HRMS (ESI):** m/z calcd for C₁₆H₁₁F₃NO₂ (M+H)⁺: 306.0742, found: 306.0748.

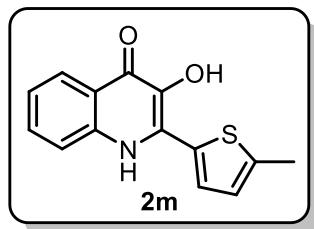
3-Hydroxy-2-(*p*-tolyl)-7-(trifluoromethyl)quinolin-4(1*H*)-one (2l**).**



This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1l** afforded 18 mg of **2l** (74% yield). M.P- 257-259 °C. R_f = 0.6 (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\max}/\text{cm}^{-1}$ 3417, 1658, 1050, 1170, 763. **¹H NMR (400 MHz, DMSO-d⁶):** δ 11.83 (s,

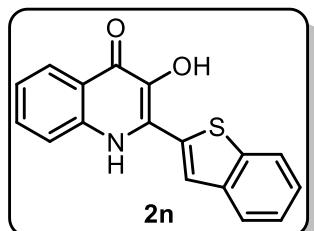
1H), 8.35 (d, J = 8.4 Hz, 1H), 8.14 (s, 1H), 7.75 (d, J = 8.0 Hz, 2H), 7.52 (d, J = 8.5 Hz, 1H), 7.40 (d, J = 7.9 Hz, 2H), 2.41 (s, 3H). **^{13}C NMR (100 MHz, DMSO-*d*⁶)**: δ 169.8, 139.7, 139.5, 137.4, 131.1, 130.6 (q, J = 31.6 Hz, 1C), 129.54 (2C), 129.51, 129.4 (2C), 126.9, 124.2 (q, J = 270.9 Hz, 1C), 124.0, 117.5 (q, J = 2.72 Hz, 1C), 116.7 (q, J = 4.2 Hz, 1C), 21.4. **^{19}F NMR (376.5 MHz, CDCl₃)**: δ -61.52. **HRMS (ESI)**: m/z calcd for C₁₇H₁₃F₃NO₂ (M+H)⁺: 320.0898, found: 320.0922.

3-Hydroxy-2-(5-methylthiophen-2-yl)quinolin-4(1*H*)-one (**2m**).



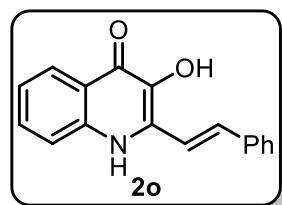
This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1m** afforded 15.1 mg of **2m** (64% yield). M.P- 247-249 °C. R_f = 0.3 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: $\nu_{\text{max}}/\text{cm}^{-1}$ 3417, 1659, 1050, 1026, 763. **^1H NMR (400 MHz, DMSO-*d*⁶)**: δ 11.17 (s, 1H), 8.10 (d, J = 7.4 Hz, 1H), 7.84 (d, J = 3.7 Hz, 1H), 7.79 (d, J = 8.4 Hz, 1H), 7.61-7.57 (m, 2H), 7.24 (t, J = 7.4 Hz, 1H), 2.53 (s, 3H). **^{13}C NMR (100 MHz, DMSO-*d*⁶)**: δ 170.2, 144.4, 138.5, 137.0, 131.2, 130.7, 129.1, 127.8, 126.7, 125.7, 124.8, 122.1, 118.5, 15.2. **HRMS (ESI)**: m/z calcd for C₁₄H₁₂NO₂S (M+H)⁺: 258.0589, found: 258.0586.

2-(Benzo[b]thiophen-2-yl)-3-hydroxyquinolin-4(1*H*)-one (**2n**).



This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1n** afforded 17 mg of **2n** (71% yield). M.P- 282-284 °C. R_f = 0.4 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: $\nu_{\text{max}}/\text{cm}^{-1}$ 3439, 1660, 1023, 1003, 759. **^1H NMR (400 MHz, DMSO-*d*⁶)**: δ 11.42 (s, 1H), 8.32 (s, 1H), 8.14 (d, J = 9.0 Hz, 1H), 8.08-8.06 (m, 1H), 8.01-7.99 (m, 1H), 7.84 (d, J = 8.4 Hz, 1H), 7.47-7.45 (m, 2H), 7.27 (t, J = 7.4 Hz, 1H), 7.66-7.62 (m, 1H). **^{13}C NMR (100 MHz, DMSO-*d*⁶)**: δ 170.7, 141.3, 138.8, 138.7, 138.5, 134.0, 131.6, 125.9, 125.8, 125.2, 124.9, 124.4, 124.2, 122.7, 122.3, 122.2, 118.7. **HRMS (ESI)**: m/z calcd for C₁₇H₁₂NO₂S (M+H)⁺: 294.0589, found: 294.0599.

(E)-3-Hydroxy-2-styrylquinolin-4-(1H)-one (2o).

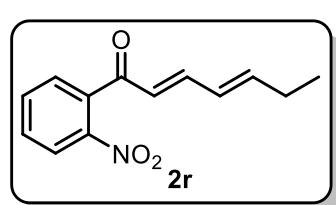


This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1o** afforded 13 mg of **2o** (53% yield). M.P- 282-284 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** ν_{max}/cm^{-1} 3417, 1659, 1052, 764. **¹H NMR (400 MHz, DMSO-d₆):** δ 11.29 (s, 1H), 8.11 (dd, $J = 8.1$ and 7.1 Hz, 1H), 7.68 (t, $J = 8.4$ Hz, 1H), 7.66-7.59 (m, 4H), 7.57-7.54 (m, 1H), 7.47-7.37 (m, 4H), 7.23 (t, $J = 7.6$ Hz, 1H). **¹³C NMR (100 MHz, DMSO-d₆):** δ 170.2, 139.4, 138.4, 136.6, 133.5, 132.0, 131.3, 129.5 (2C), 129.3 (2C), 127.3 (2C), 124.9, 122.3, 122.0, 118.4. **HRMS (ESI):** m/z calcd for C₁₇H₁₄NO₂ (M+H)⁺: 264.1025, found: 264.1037.

3-Hydroxy-2-(*o*-tolyl)quinolin-4(1H)-one (2p).

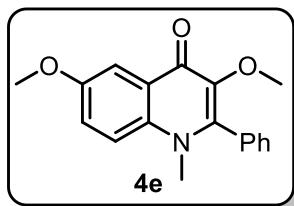
This compound was prepared by following the general procedure-3 and isolated as yellow solid. 25 mg of **1p** afforded 5 mg of **2p** (21% yield). M.P- 239-241 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** ν_{max}/cm^{-1} 3417, 1658, 1650, 1050, 763. **¹H NMR (400 MHz, DMSO-d₆):** δ 11.66 (s, 1H), 8.16 (d, $J = 8.2$ Hz, 1H), 7.60-7.57 (m, 2H), 7.43-7.33 (m, 4H), 7.28-7.25 (m, 1H), 2.22 (s, 3H). **¹³C NMR (100 MHz, DMSO-d₆):** δ 170.1, 138.4, 138.2, 137.2, 132.87, 132.80, 130.8, 130.4, 130.2, 129.7, 126.1, 124.9, 122.6, 122.2, 118.6, 19.6. **HRMS (ESI):** m/z calcd for C₁₆H₁₄NO₂ (M+H)⁺: 252.1025, found: 252.1028.

(2E,4E)-1-(2-Nitrophenyl)hepta-2,4-dien-1-one (2r).



This compound was prepared by following the general procedure-3 and isolated as pale-yellow liquid. 20 mg of **1r** afforded 15 mg of **2r** (75% yield). $R_f = 0.7$ (EtOAc/ Hexane = 1/4). **IR (thin film, neat):** ν_{max}/cm^{-1} 2969, 1659, 1631, 1529, 1294, 1001, 789. **¹H NMR (400 MHz, CDCl₃):** δ 8.14 (dd, $J = 8.2$ and 0.8 Hz, 1H), 7.29 (dt, $J = 7.4$ and 1.1 Hz, 1H), 7.64-7.60 (m, 1H), 7.44 (dd, $J = 7.5$ and 1.3 Hz, 1H), 6.82 (dd, $J = 10.2$ and 5.3 Hz, 1H), 6.36 (d, $J = 15.6$ Hz, 1H), 6.28-6.12 (m, 2H), 2.19 (quint, $J = 6.9$ Hz, 2H), 1.03 (t, $J = 7.4$ Hz, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 193.3, 148.8, 147.1, 146.7, 136.4, 133.9, 130.3, 128.7, 127.78, 127.75, 124.4, 26.2, 12.7. **HRMS (ESI):** m/z calcd for C₁₃H₁₃NNaO₃ (M+Na)⁺: 254.0793, found: 254.0778.

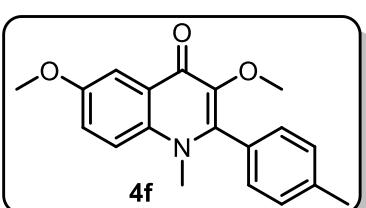
3,6-Dimethoxy-1-methyl-2-phenylquinolin-4(1H)-one (4e).



This compound was prepared by following the general procedure-4 and isolated as white solid. 25 mg of **2e** afforded 25 mg of **4e** (90% yield). M.P- 144-146 °C. $R_f = 0.4$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2926, 1623, 1543, 1376, 1167, 1051, 741. **¹H NMR (400 MHz, CDCl₃):** δ 7.97 (d, $J = 3.0$ Hz, 1H), 7.55-7.51 (m, 4H), 7.39-3.33 (m, 3H), 3.97 (s, 3H), 3.64 (s, 3H), 3.56 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 171.6, 156.0, 147.0, 140.3, 134.8, 132.8, 129.4, 129.0 (2C), 128.8 (2C), 128.0, 123.3, 117.6, 105.2, 60.0, 55.8, 37.5.

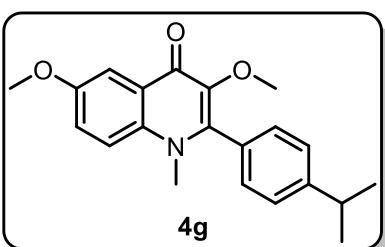
HRMS (ESI): m/z calcd for C₁₈H₁₈NO₃ (M+H)⁺: 296.1287, found: 296.1287.

3,6-Dimethoxy-1-methyl-2-(*p*-tolyl)quinolin-4(1H)-one (4f).



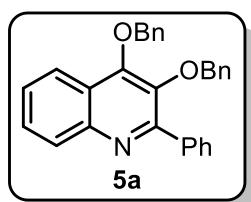
This compound was prepared by following the general procedure-4 and isolated as pale-yellow solid. 25 mg of **2f** afforded 23 mg of **4f** (85% yield). M.P- 163-165 °C. $R_f = 0.5$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2958, 2839, 1592, 1499, 1307, 1052, 759. **¹H NMR (400 MHz, CDCl₃):** δ 7.96 (d, $J = 3.0$ Hz, 1H), 7.47 (d, $J = 9.3$ Hz, 1H), 7.35-7.33 (m, 3H), 7.26-7.24 (m, 2H), 3.96 (s, 3H), 3.65 (s, 3H), 3.52 (s, 3H), 2.46 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 172.0, 155.7, 146.8, 140.5, 139.3, 134.9, 129.5, 129.4 (2C), 128.9 (2C), 128.2, 122.9, 117.4, 105.3, 59.9, 55.8, 37.2, 21.4. **HRMS (ESI):** m/z calcd for C₁₉H₁₉NNaO₃ (M+Na)⁺: 332.1263, found: 332.1293.

2-(4-Isopropylphenyl)-3,6-dimethoxy-1-methylquinolin-4(1H)-one (4g).



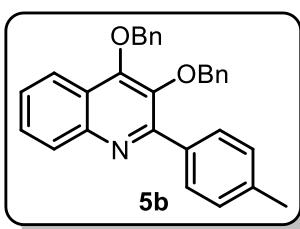
This compound was prepared by following the general procedure-4 and isolated as white solid. 23 mg of **2g** afforded 21 mg of **4g** (84% yield). M.P- 148-151 °C. $R_f = 0.6$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** $\nu_{\text{max}}/\text{cm}^{-1}$ 2960, 1592, 1498, 1054, 792. **¹H NMR (400 MHz, CDCl₃):** δ 7.96 (d, $J = 3.0$ Hz, 1H), 7.47 (d, $J = 9.3$ Hz, 1H), 7.39-7.37 (m, 2H), 7.32-7.26 (m, 3H), 3.96 (s, 3H), 3.67 (s, 3H), 3.51 (s, 3H), 3.00 (sept, $J = 6.9$ Hz, 1H), 1.32 (d, $J = 6.9$ Hz, 6H). **¹³C NMR (100 MHz, CDCl₃):** δ 172.1, 155.7, 150.6, 146.8, 140.5, 134.9, 129.8, 128.9 (2C), 128.3, 126.8, 122.8, 117.5, 105.2, 59.9, 55.8, 37.2, 34.0 (2C), 23.9 (2C). **HRMS (ESI):** m/z calcd for C₂₁H₂₄NO₃ (M+H)⁺: 338.1756, found: 338.1786.

3,4-Bis(benzyloxy)-2-phenylquinoline (5a).



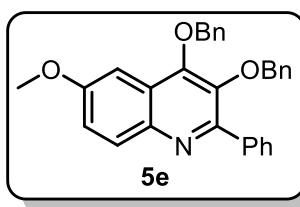
This compound was prepared by following the general procedure-5 and isolated as pale-yellow liquid. 20 mg of **2a** afforded 25 mg of **5a** (71% yield). $R_f = 0.7$ (EtOAc/ Hexane = 1/9). **IR (thin film, neat):** ν_{max}/cm^{-1} 3062, 2926, 1359, 1078, 769. **¹H NMR (400 MHz, CDCl₃):** δ 8.14 (d, $J = 8.2$ Hz, 1H), 8.09 (d, $J = 8.4$ Hz, 1H), 7.66-7.62 (m, 1H), 7.97-7.95 (m, 2H), 7.51-7.46 (m, 5H), 7.41-7.35 (m, 4H), 7.28-7.24 (m, 3H), 7.12-7.10 (m, 2H), 5.47 (s, 2H), 4.77 (s, 2H). **¹³C NMR (100 MHz, CDCl₃):** δ 157.0, 153.8, 146.2, 141.4, 138.0, 136.8, 136.2, 129.7 (2C), 129.2, 128.9, 128.8, 128.7 (2C), 128.6 (2C), 128.46, 128.42 (2C), 128.40 (2C), 128.3, 128.2 (2C), 126.1, 124.4, 121.9, 75.8, 75.6. **HRMS (ESI):** m/z calcd for C₂₉H₂₄NO₂ (M+H)⁺: 418.1807, found: 418.1807.

3,4-Bis(benzyloxy)-2-(*p*-tolyl)quinoline (5b).



This compound was prepared by following the general procedure-5 and isolated as white solid. 20 mg of **2b** afforded 25 mg of **5b** (73% yield). M.P- 108-110 °C. $R_f = 0.7$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** ν_{max}/cm^{-1} 3063, 2919, 1585, 1454, 1358, 1139, 1079, 765. **¹H NMR (400 MHz, CDCl₃):** δ 8.10 (dd, $J = 15.2$ and 7.68 Hz, 2H), 7.90 (d, $J = 8.0$ Hz, 2H), 7.65-7.61 (m, 1H), 7.48-7.44 (m, 3H), 7.40-7.34 (m, 3H), 7.31-7.27 (m, 5H), 7.18-7.15 (m, 2H), 5.45 (s, 2H), 4.77 (s, 2H), 2.44 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 156.9, 153.7, 146.2, 141.5, 138.8, 136.9, 136.3, 135.2, 129.6 (2C), 129.2, 128.9 (2C), 128.7, 128.68 (2C), 128.62 (2C), 128.4 (5C), 128.2, 125.9, 124.3, 121.9, 75.7, 75.6, 21.4. **HRMS (ESI):** m/z calcd for C₃₀H₂₆NO₂ (M+H)⁺: 432.1964, found: 432.1965.

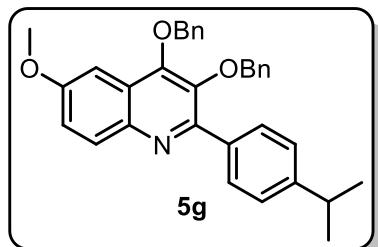
3,4-Bis(benzyloxy)-6-methoxy-2-phenylquinoline (5e).



This compound was prepared by following the general procedure-5 and isolated as white solid. 20 mg of **2e** afforded 23 mg of **5e** (70% yield) M.P- 82-84 °C. $R_f = 0.7$ (EtOAc/ Hexane = 2/3). **IR (thin film, neat):** ν_{max}/cm^{-1} 3062, 2928, 1586, 1469, 1430, 1359, 1169, 1079, 768. **¹H NMR (400 MHz, CDCl₃):** δ 7.99-7.93 (m, 3H), 7.48-7.44 (m, 5H), 7.38-7.36 (m, 3H), 7.31-7.25 (m, 5H), 7.15-7.13 (m, 2H), 5.43 (s, 2H), 4.79 (s, 2H), 3.86 (s, 3H). **¹³C NMR (100 MHz, CDCl₃):** δ 157.8, 154.1, 153.0, 142.3, 142.2, 138.1, 136.9, 136.3, 130.8, 129.6 (2C), 128.69 (2C), 128.64 (3C), 128.5 (2C), 128.4, 128.3 (2C), 128.2, 128.1 (2C), 125.4,

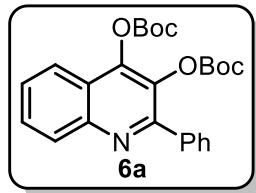
121.4, 99.5, 75.79, 75.75, 55.4. **HRMS (ESI)**: m/z calcd for C₃₀H₂₆NO₃ (M+H)⁺: 448.1913, found: 448.1912.

3,4-Bis(benzyloxy)-2-(4-isopropylphenyl)-6-methoxyquinoline (5g).



This compound was prepared by following the general procedure-5 and isolated as pale-yellow liquid. 20 mg of **2g** afforded 24 mg of **5g** (75% yield). R_f = 0.7 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: $\nu_{\max}/\text{cm}^{-1}$ 3031, 2959, 1585, 1468, 1430, 1360, 1169, 1079, 751. **¹H NMR (400 MHz, CDCl₃)**: δ 7.96 (d, J = 9.0 Hz, 1H), 7.86 (d, J = 8.0 Hz, 2H), 7.48-7.46 (m, 2H), 7.38-7.25 (m, 10), 7.13-7.11 (m, 2H), 5.43 (s, 2H), 4.80 (s, 2H), 3.85 (s, 3H), 2.99 (sept, J = 6.8 Hz, 1H), 1.32 (d, J = 6.9 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)**: δ 157.6, 154.3, 152.8, 149.5, 142.3, 142.2, 137.0, 136.4, 135.6, 130.8, 129.5 (2C), 128.7 (2C), 128.6 (2C), 128.5 (2C), 128.4, 128.3 (2C), 128.2, 126.2 (2C), 125.3, 121.3, 99.6, 75.7 (2C), 55.4, 34.1, 24.1 (2C). **HRMS (ESI)**: m/z calcd for C₃₃H₃₂NO₃ (M+H)⁺: 490.2382, found: 490.2361.

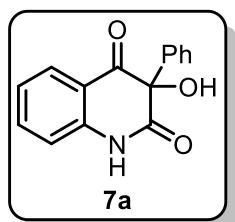
Di-*tert*-butyl (2-phenylquinoline-3,4-diyl) bis(carbonate) (6a).



This compound was prepared by following the general procedure-5 and isolated as white semi-solid. 20 mg of **1a** afforded 20 mg of **6a** (58% yield). R_f = 0.7 (EtOAc/ Hexane = 9/1). **IR (thin film, neat)**: $\nu_{\max}/\text{cm}^{-1}$ 2981, 1774, 1254, 1141, 1132, 1054, 768. **¹H NMR (400 MHz, CDCl₃)**: δ 8.17 (d, J = 8.4 Hz, 1H), 7.98 (d, J = 9.1 Hz, 1H), 7.88-7.85 (m, 2H), 7.75-7.71 (m, 1H), 7.61-7.57 (m, 1H), 7.50-7.44 (m, 3H), 1.59 (s, 9H), 1.29 (s, 9H). **¹³C NMR (100 MHz, CDCl₃)**: δ 155.1, 149.5, 149.3, 147.0, 145.3, 137.1, 134.6, 129.8, 129.6, 129.1, 128.9 (2C), 128.5 (2C), 127.2, 122.6, 121.3, 85.0, 84.3, 27.6 (3C), 27.2 (3C). **HRMS (ESI)**: m/z calcd for C₂₅H₂₈NO₆ (M+H)⁺: 438.1917, found: 438.1912.

2-Hydroxy-2-phenyl-1,2-dihydroquinoline-3,4-dione (7a).

This compound was prepared by following the general procedure-6 and isolated as white solid.



40 mg of **2a** afforded 26 mg of **7a** (60% yield). M.P- 218-220 °C. R_f = 0.4 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: $\nu_{\max}/\text{cm}^{-1}$ 3417, 2522, 1659, 1050, 1026, 763. **¹H NMR (400 MHz, DMSO-d⁶)**: δ 11.10 (s, 1H), 7.67 (d, J = 7.6 Hz, 1H), 7.60 (t, J = 7.8 Hz, 1H), 7.37-7.30 (m, 5H), 7.13-7.07

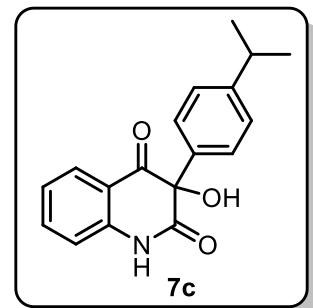
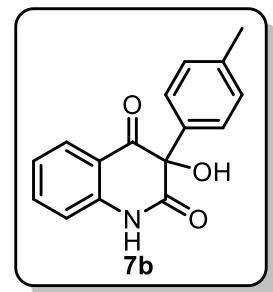
(m, 2H), 6.39 (s, 1H). **¹³C NMR (100 MHz, DMSO-d⁶)**: δ 194.6, 172.0, 141.8, 138.8, 136.8, 129.1 (2C), 129.0, 127.6, 125.8 (2C), 123.3, 119.4, 116.8, 82.9. **HRMS (ESI)**: m/z calcd for C₁₅H₁₁NNaO₃ (M+Na)⁺: 276.0637, found: 276.0632.

3-Hydroxy-3-(*p*-tolyl)quinoline-2,4(1*H*,3*H*)-dione (**7b**).

This compound was prepared by following the general procedure-6 and isolated as pale-yellow solid. 40 mg of **2b** afforded 27 mg of **7b** (63% yield). M.P- 208-210 °C. R_f = 0.3 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: ν_{max}/cm⁻¹ 3269, 2360, 1678, 1614, 1162, 1107, 1040, 752. **¹H NMR (400 MHz, DMSO-d⁶)**: δ 11.05 (s, 1H), 7.65 (d, J = 8.1 Hz, 1H), 7.60-7.56 (m, 1H), 7.24-7.22 (m, 2H), 7.12-7.06 (m, 4H), 6.30 (s, 1H), 2.22 (s, 3H). **¹³C NMR (100 MHz, DMSO-d⁶)**: δ 194.7, 172.1, 141.7, 138.5, 136.6, 135.8, 129.6 (2C), 127.6, 125.8 (2C), 123.2, 119.5, 116.8, 83.0, 21.0. **HRMS (ESI)**: m/z calcd for C₁₆H₁₃NNaO₃ (M+Na)⁺: 290.0793, found: 290.0799.

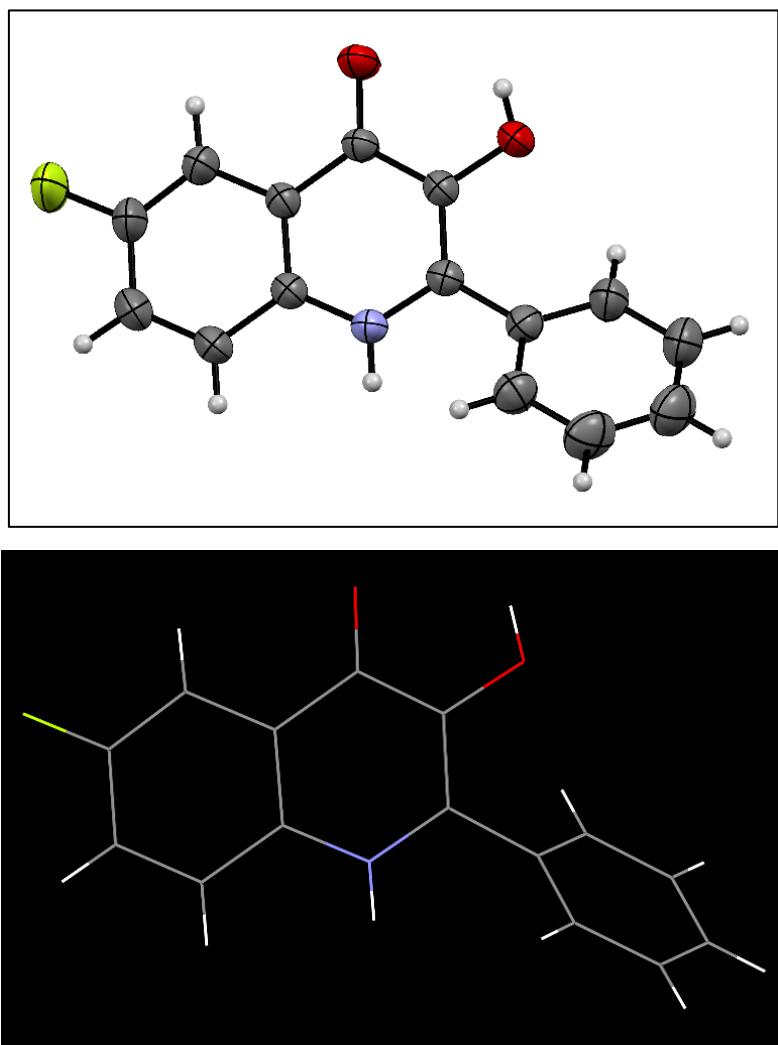
3-Hydroxy-3-(4-isopropylphenyl)quinoline-2,4(1*H*,3*H*)-dione (**7c**).

This compound was prepared by following the general procedure-6 and isolated as white solid. 35 mg of **2c** afforded 22 mg of **7c** (59% yield). M.P- 246-248 °C. R_f = 0.3 (EtOAc/ Hexane = 2/3). **IR (thin film, neat)**: ν_{max}/cm⁻¹ 3459, 2960, 2361, 1704, 1669, 1611, 1162, 1017, 761. **¹H NMR (400 MHz, DMSO-d⁶)**: δ 11.07 (s, 1H), 7.67 (d, J = 7.7 Hz, 1H), 7.59 (t, J = 7.6 Hz, 1H), 7.28-7.26 (m, 2H), 7.19-7.17 (m, 2H), 7.11-7.06 (m, 2H), 6.31 (s, 1H), 2.79 (sept, J = 6.8 Hz, 1H), 1.11 (d, J = 6.8 Hz, 6H). **¹³C NMR (100 MHz, DMSO-d⁶)**: δ 194.6, 172.1, 149.3, 141.7, 136.7, 136.2, 127.6, 127.0 (2C), 125.9 (2C), 123.3, 119.5, 116.8, 82.9, 33.5, 24.1 (2C). **HRMS (ESI)**: m/z calcd for C₁₈H₁₇NNaO₃ (M+Na)⁺: 318.1106, found: 318.1112.



Crystal structure of **2j (CCDC 2058628):** The structure of **2j** was confirmed by single-crystal X-ray diffraction analysis.

Crystallization procedure of **2j:** In a 5 mL glass vial, **2j** was dissolved in ethyl acetate (1 mL) and hexane (0.3 mL) and the solution were kept at room temperature for slow evaporation. After 2-3 days, suitable single crystals were obtained.



ORTEP diagram of **2j** with 50% ellipsoidal probability.

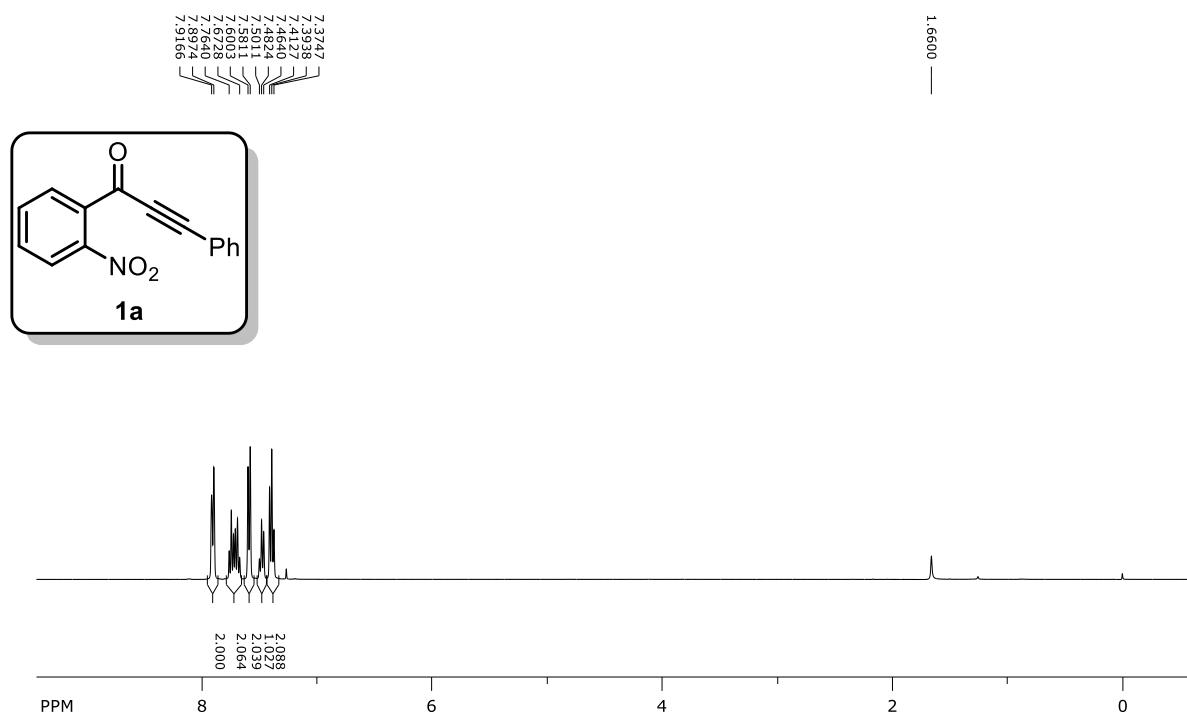
Crystal Data for C₃₀H₂₀F₂N₂O₄ (M = 510.501g/mol): monoclinic, space group Cc, *a* = 18.8275(6) Å, *b* = 13.1791(5) Å, *c* = 9.7264(3) Å, α = 90°, β = 97.555(3)°, γ = 90°, *V* = 2392.46(14) Å³, *Z* = 4, T = 298 K, $\mu(\text{Mo K}\alpha)$ = 0.105 mm⁻¹, *D_{calc}* = 1.417 g/cm³, 13567 reflections measured ($5.46^\circ \leq 2\Theta \leq 65.5^\circ$), 7218 unique ($R_{\text{int}} = 0.0163$, $R_{\text{sigma}} = 0.0243$) which were used in all calculations. The final R1 was 0.0437 ($I > 2\sigma(I)$) and wR2 was 0.1447 (all data).

Table 1: Crystal data and structure refinement for 2j.

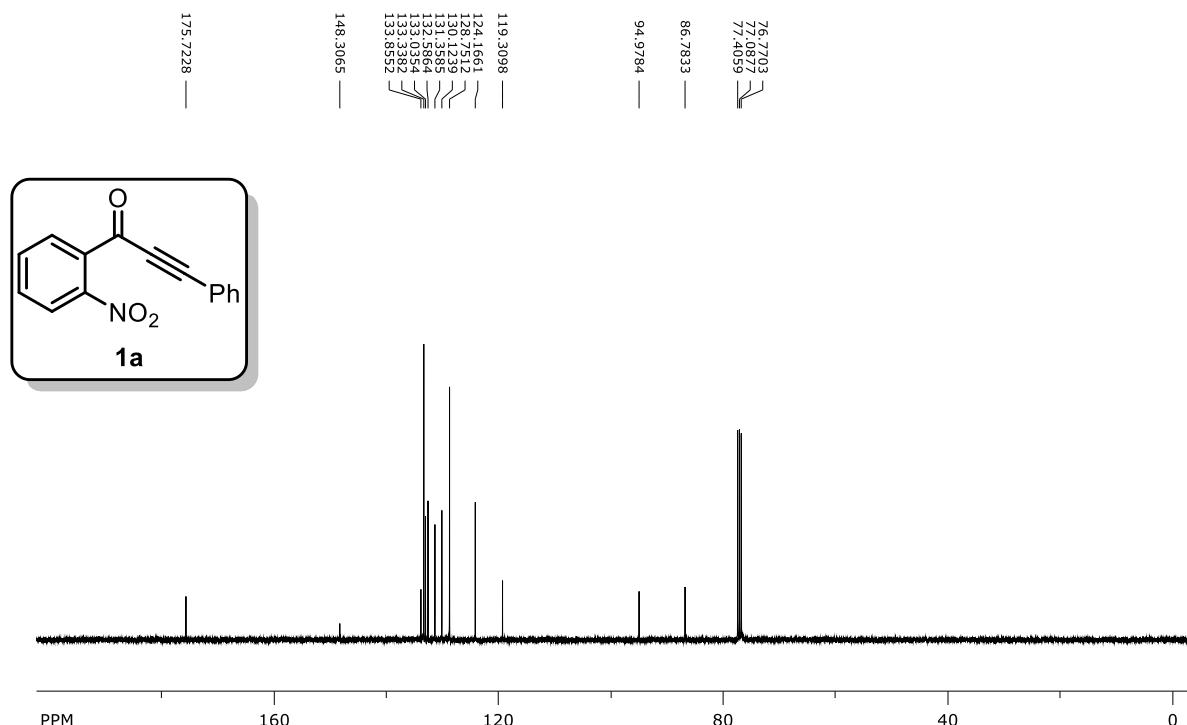
Identification code	2j
Empirical formula	C ₃₀ H ₂₀ F ₂ N ₂ O ₄
Formula weight	510.501
Temperature/K	298
Crystal system	monoclinic
Space group	Cc
a/Å	18.8275(6)
b/Å	13.1791(5)
c/Å	9.7264(3)
α/°	90
β/°	97.555(3)
γ/°	90
Volume/Å³	2392.46(14)
Z	4
ρ_{caleg/cm³}	1.417
μ/mm⁻¹	0.105
F(000)	1056.6
Crystal size/mm³	0.3 × 0.3 × 0.3
Radiation	Mo Kα ($\lambda = 0.71073$)
2Θ range for data collection/°	5.46 to 65.5
Index ranges	-27 ≤ h ≤ 28, -18 ≤ k ≤ 17, -14 ≤ l ≤ 14
Reflections collected	13567
Independent reflections	7218 [R _{int} = 0.0163, R _{sigma} = 0.0243]
Data/restraints/parameters	7218/2/345
Goodness-of-fit on F²	1.063
Final R indexes [I>=2σ (I)]	R ₁ = 0.0437, wR ₂ = 0.1307
Final R indexes [all data]	R ₁ = 0.0533, wR ₂ = 0.1447
Largest diff. peak/hole / e Å⁻³	0.30/-0.19
Flack parameter	0.4(5)

Copies of ^1H and ^{13}C -NMR spectra of all the newly synthesised compounds

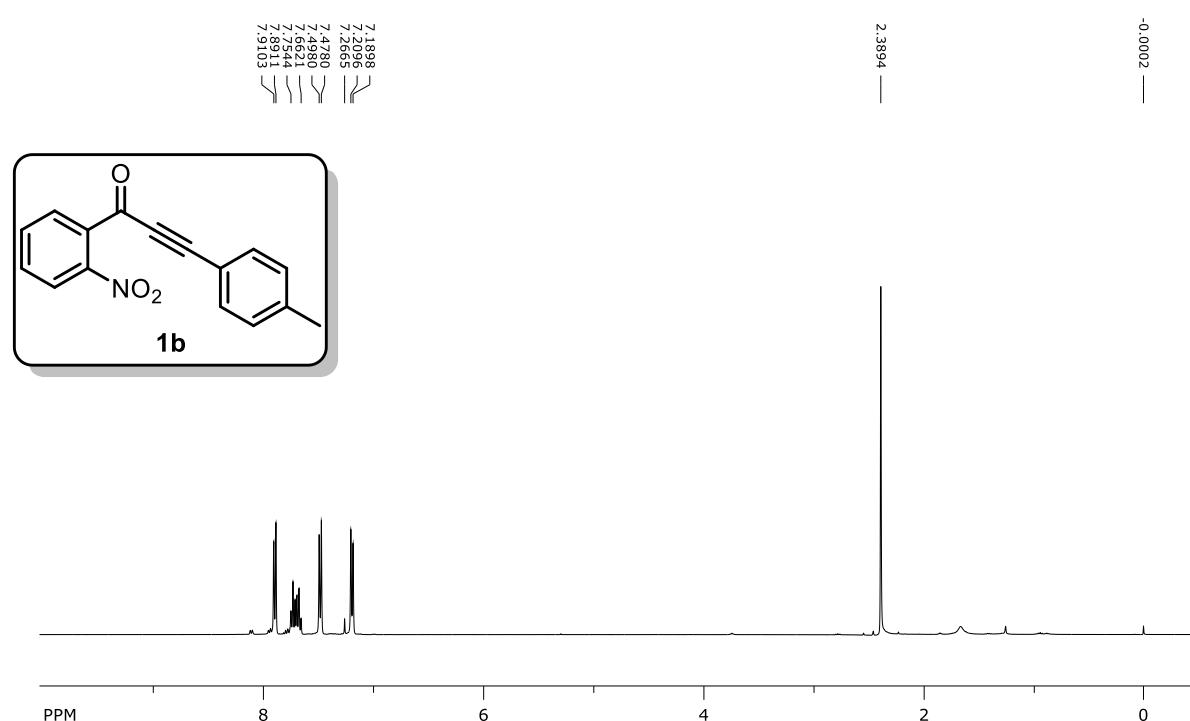
^1H NMR (400 MHz, CDCl_3):



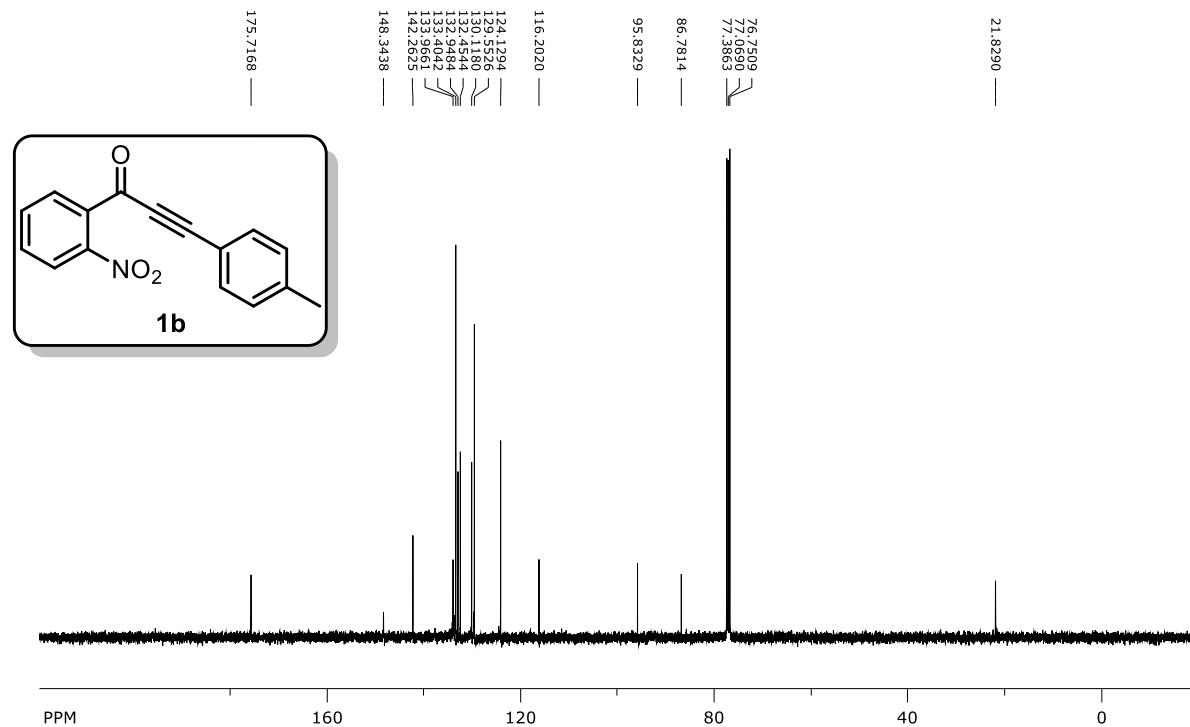
^{13}C NMR (100 MHz, CDCl_3):



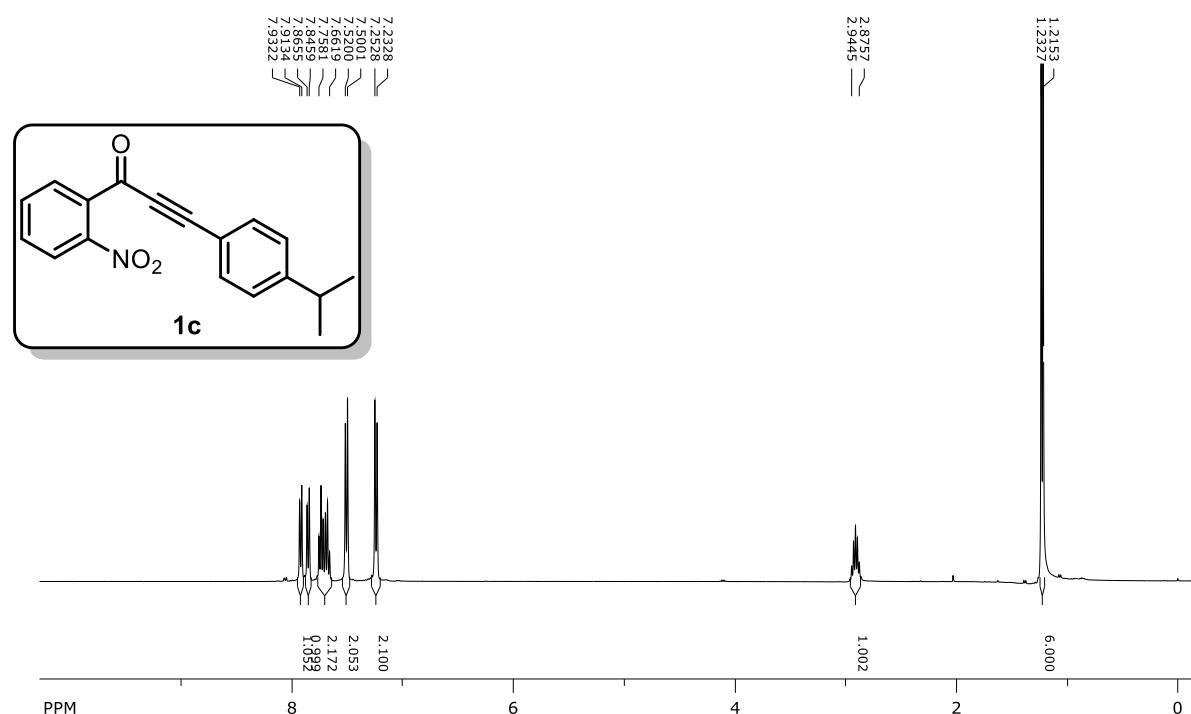
¹H NMR (400 MHz, CDCl₃):



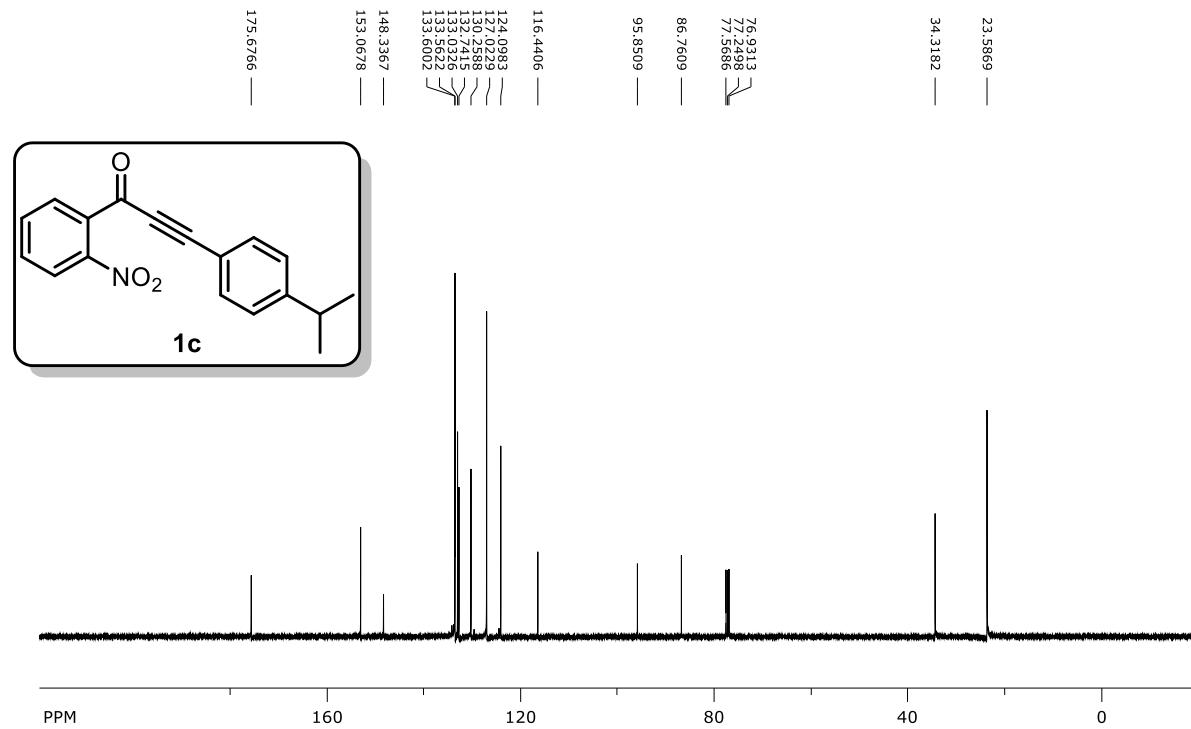
¹³C NMR (100 MHz, CDCl₃):



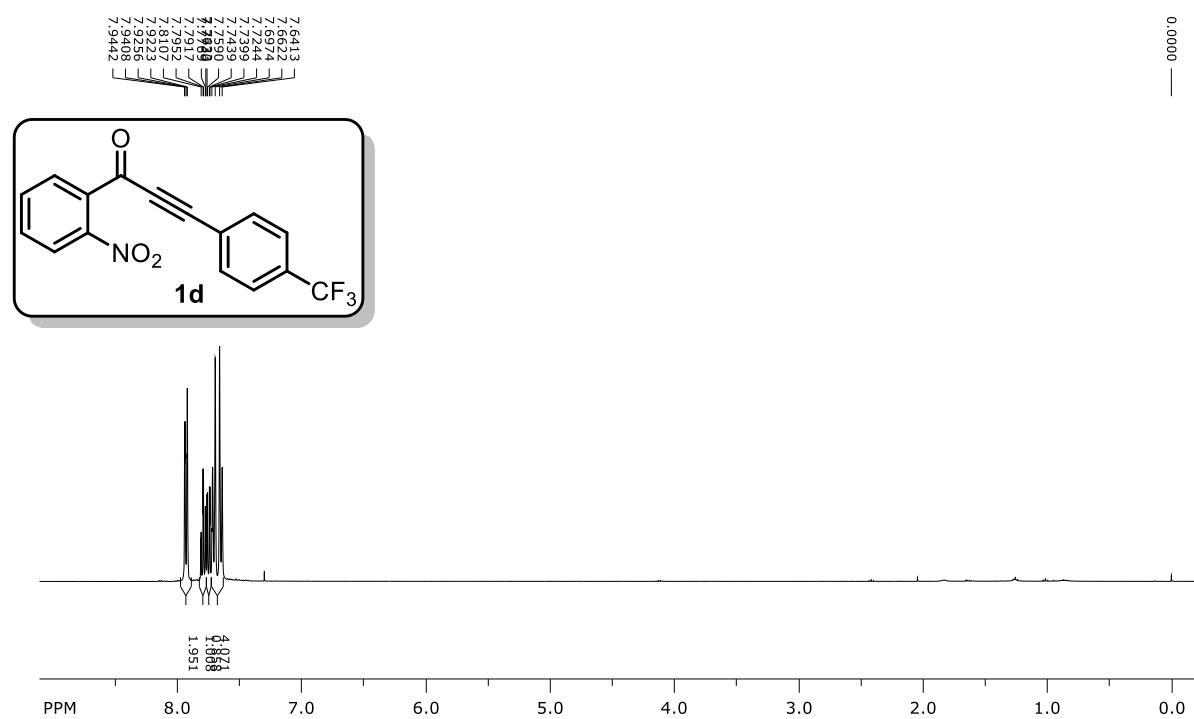
¹H NMR (400 MHz, CDCl₃):



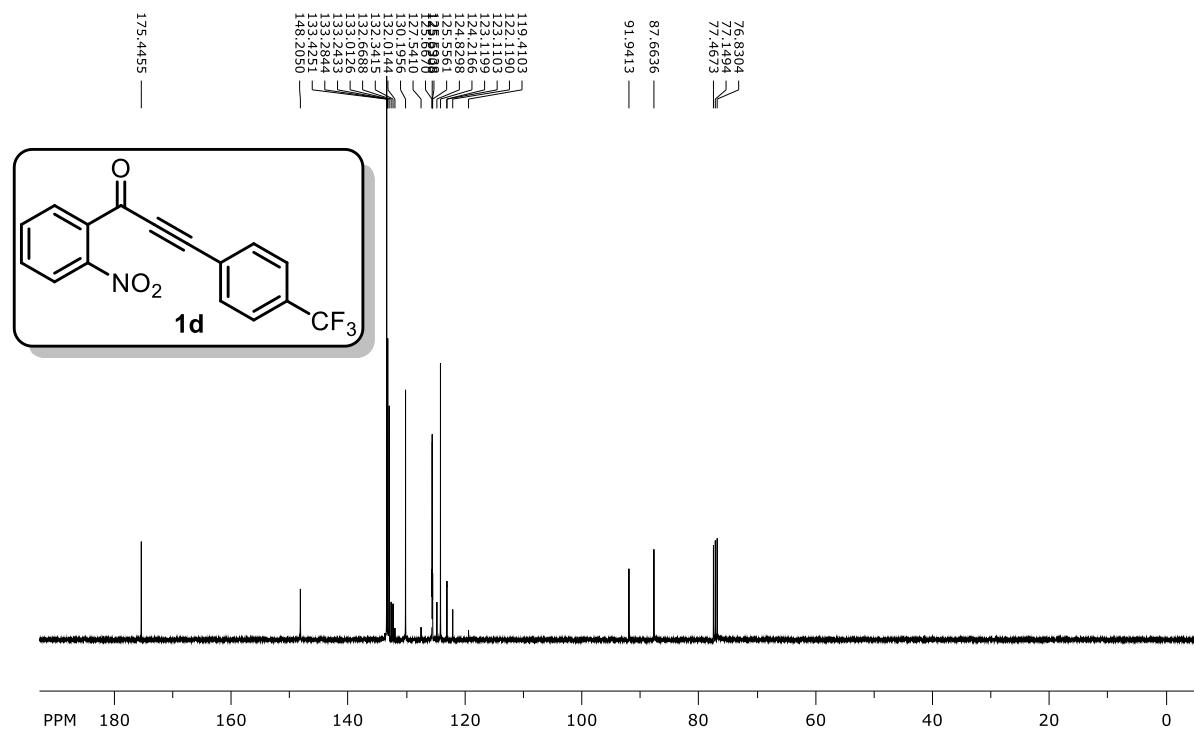
¹³C NMR (100 MHz, CDCl₃):



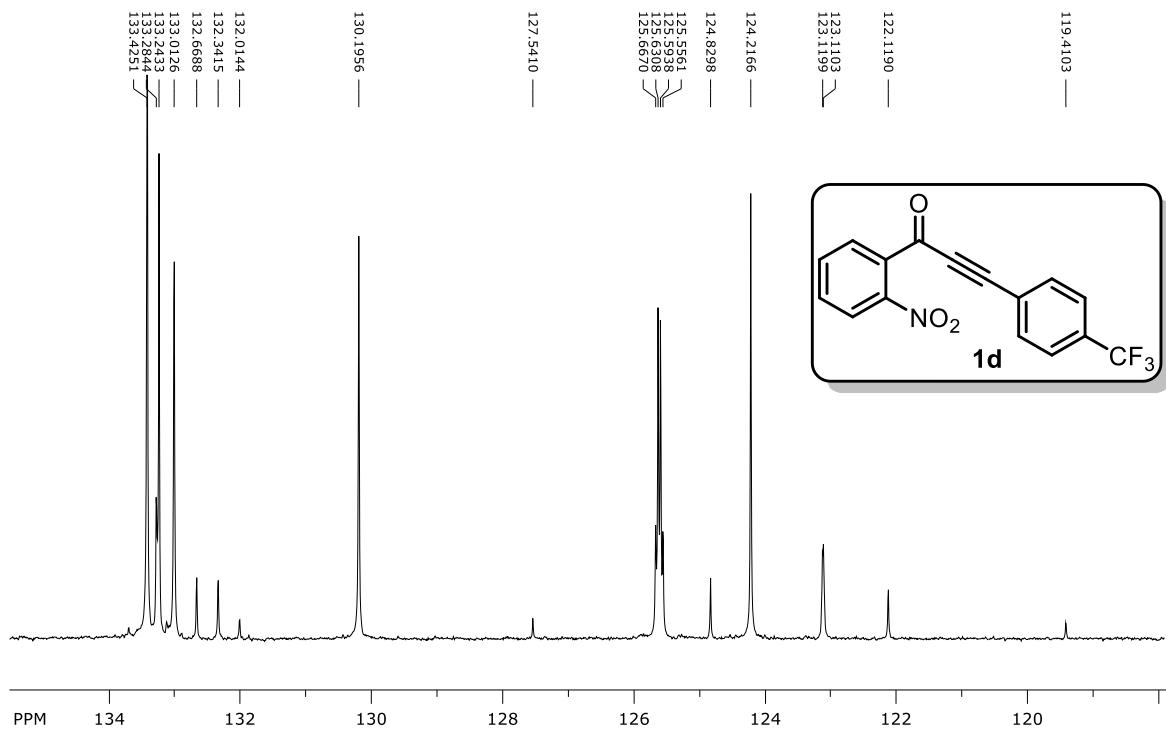
¹H NMR (400 MHz, CDCl₃):



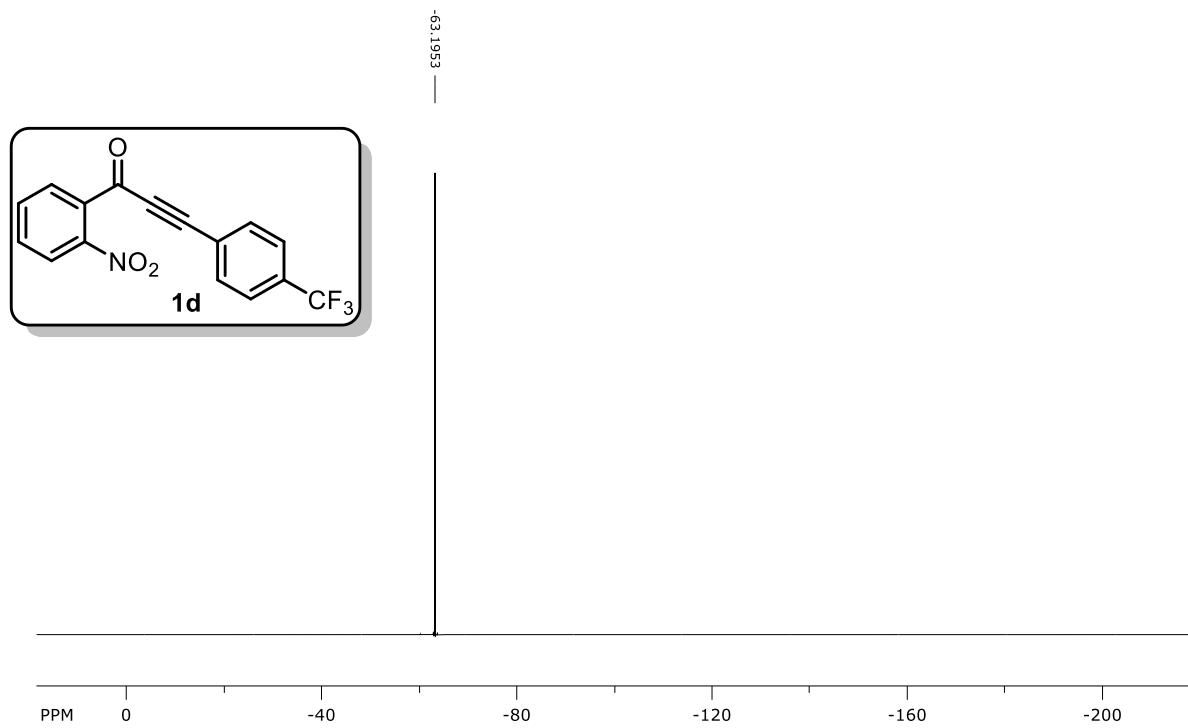
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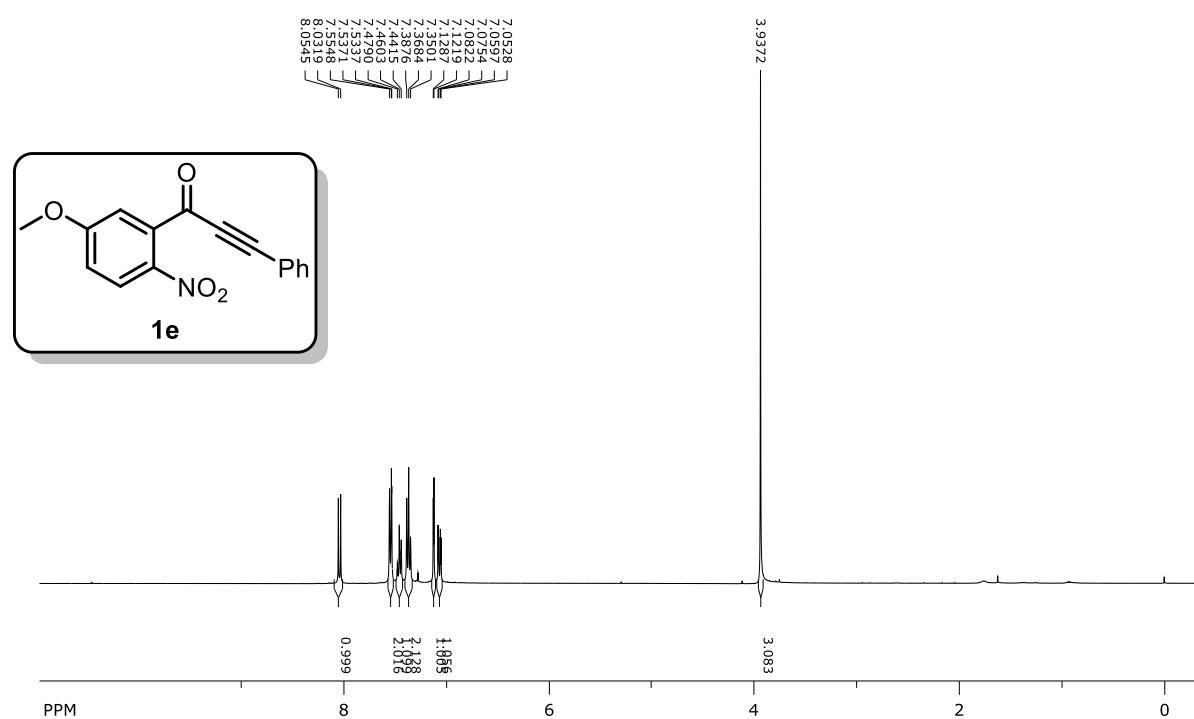
¹³C NMR (100 MHz, CDCl₃):



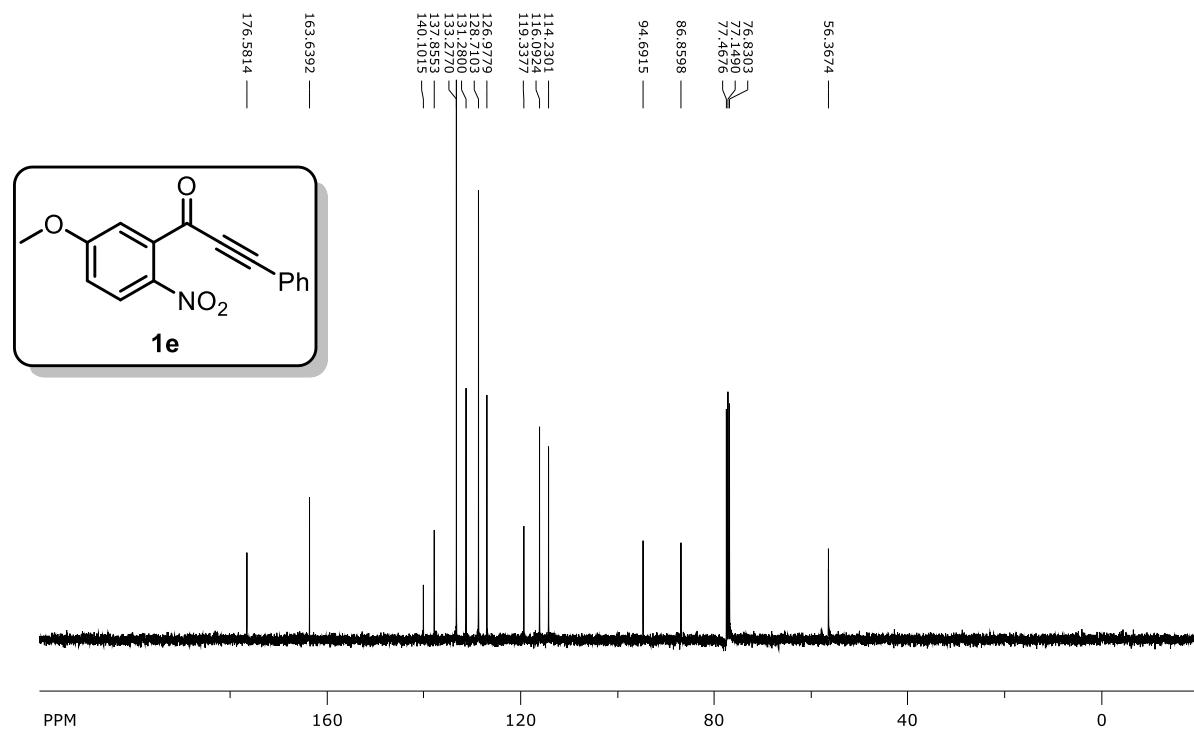
¹⁹F NMR (376.5 MHz, CDCl₃):



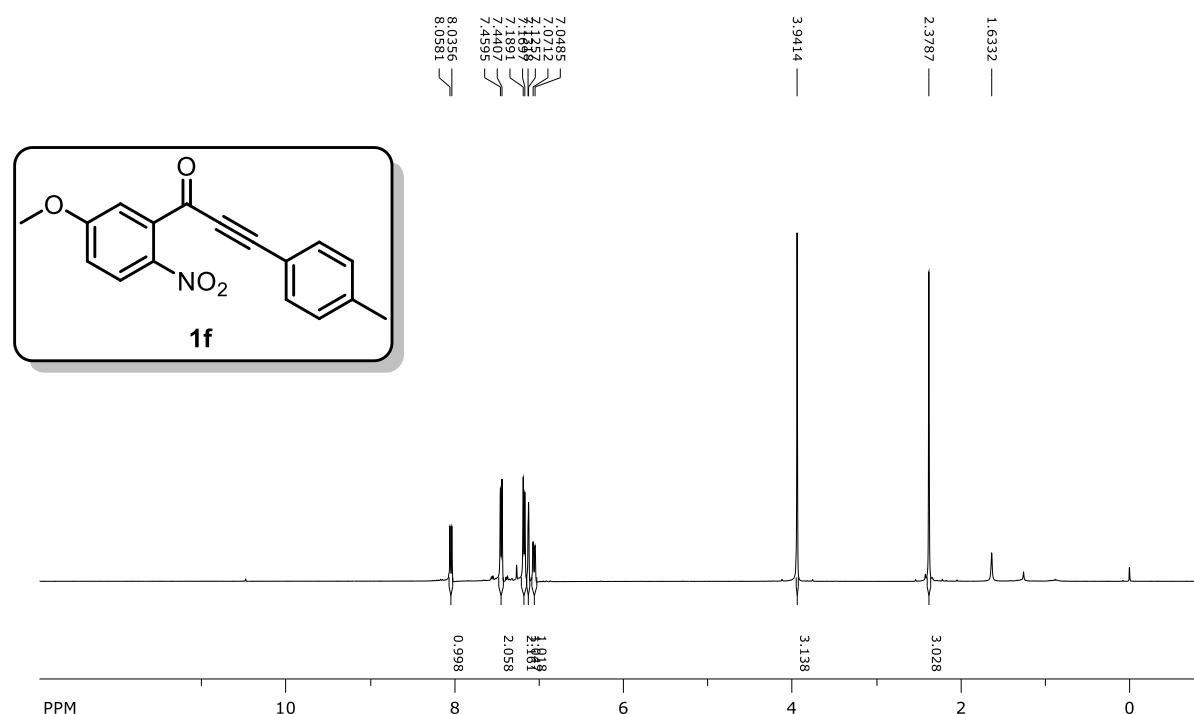
¹H NMR (400 MHz, CDCl₃):



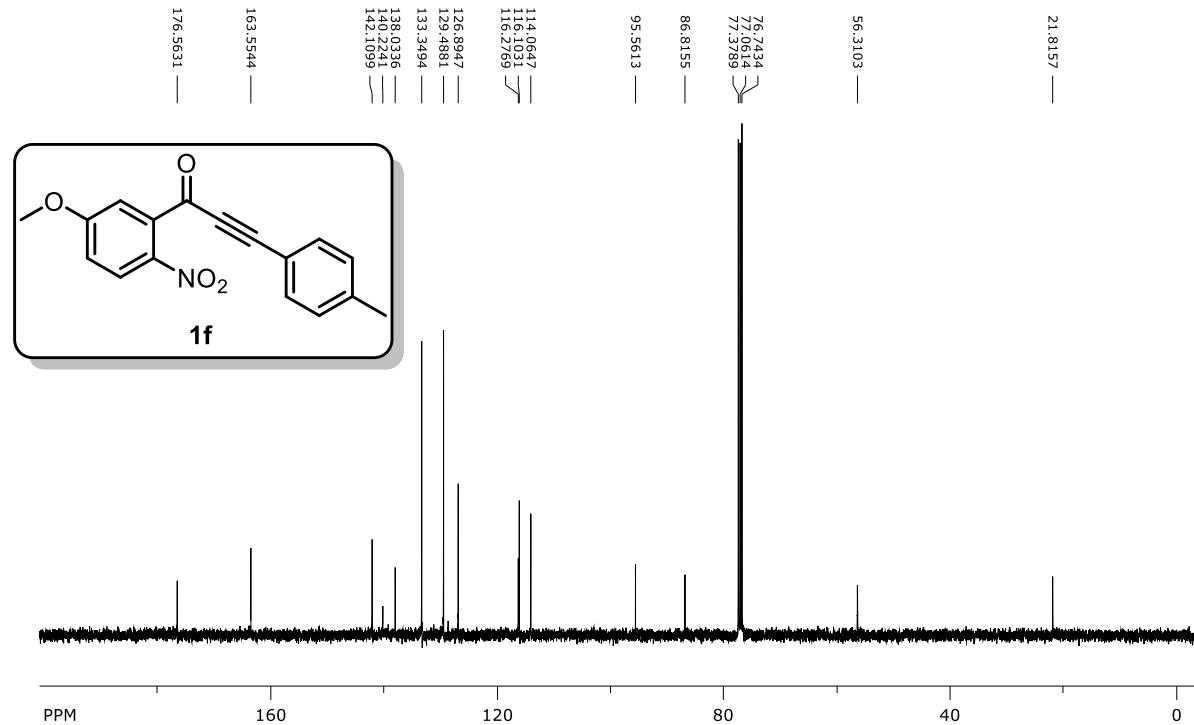
¹³C NMR (100 MHz, CDCl₃):



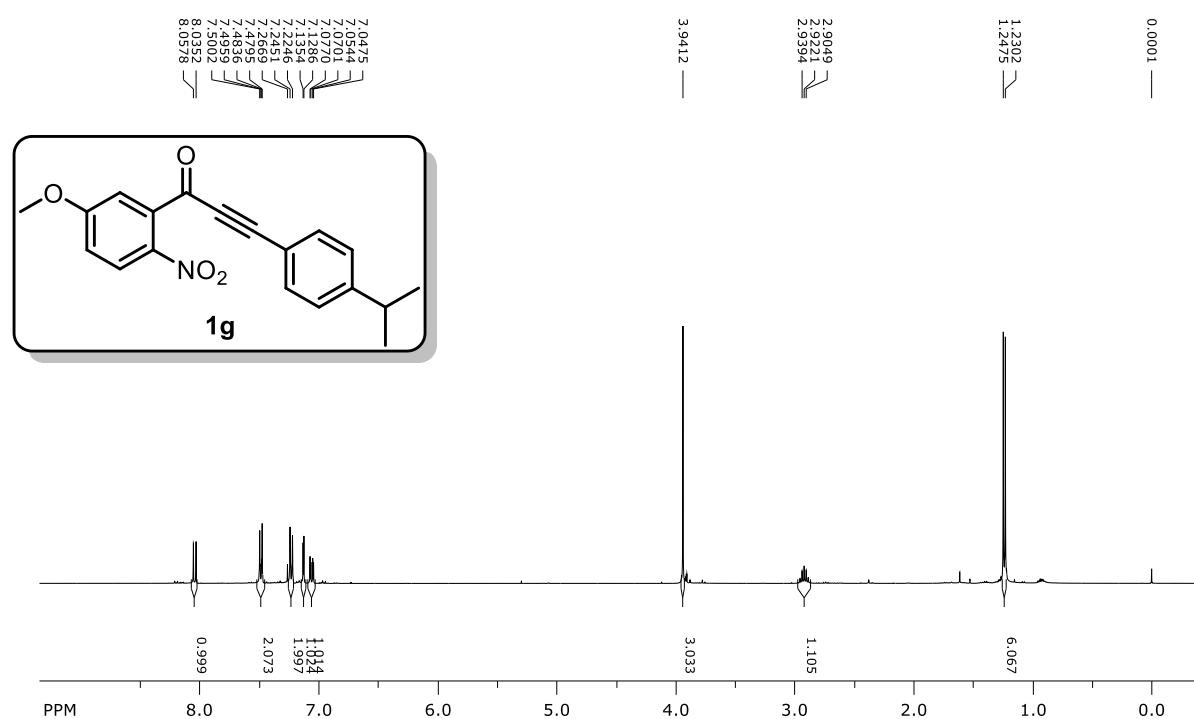
¹H NMR (400 MHz, CDCl₃):



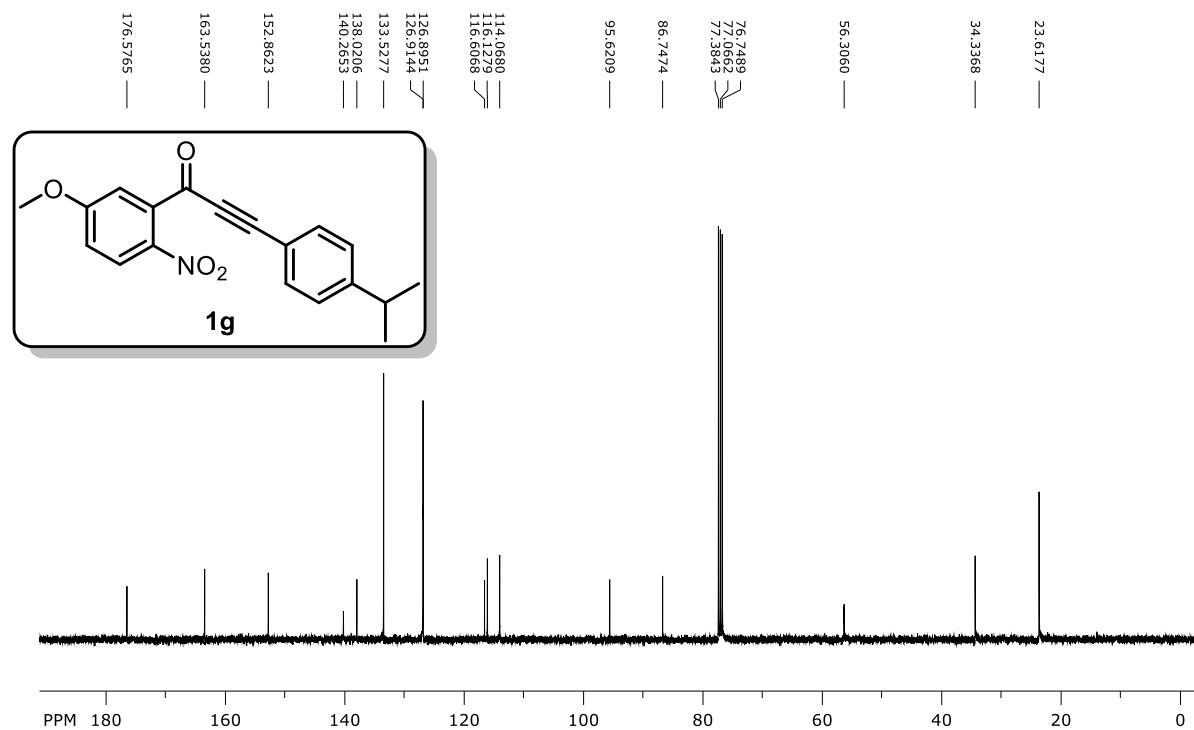
¹³C NMR (100 MHz, CDCl₃):



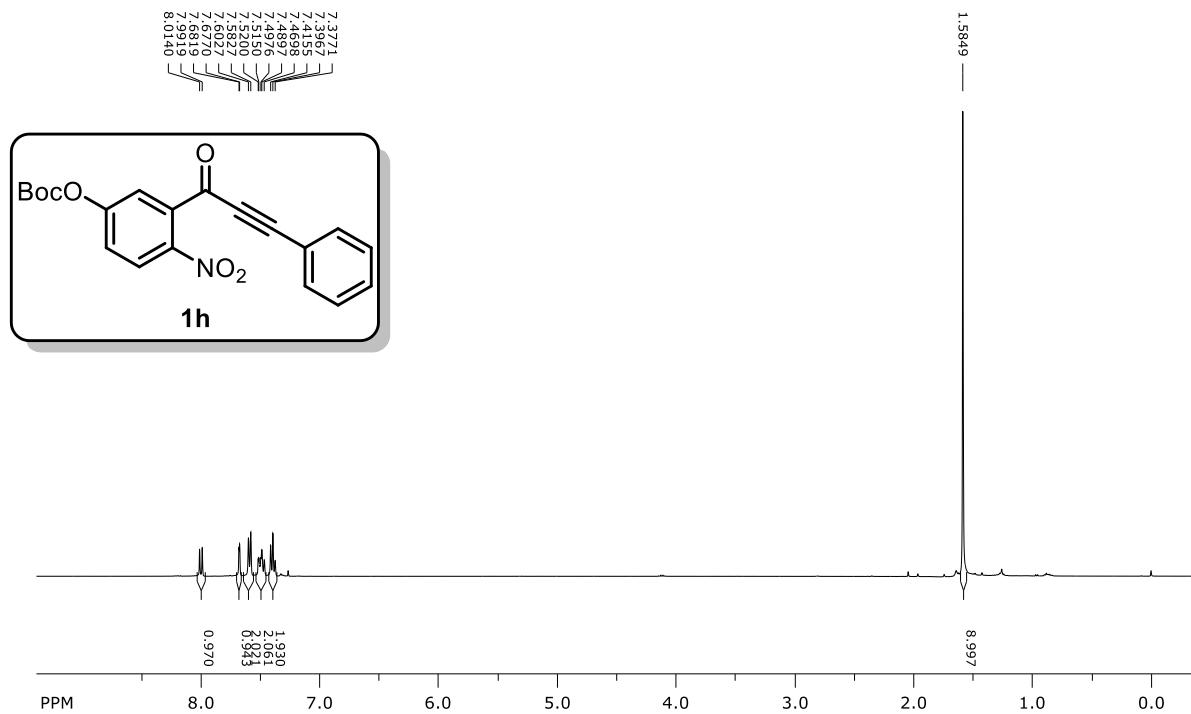
¹H NMR (400 MHz, CDCl₃):



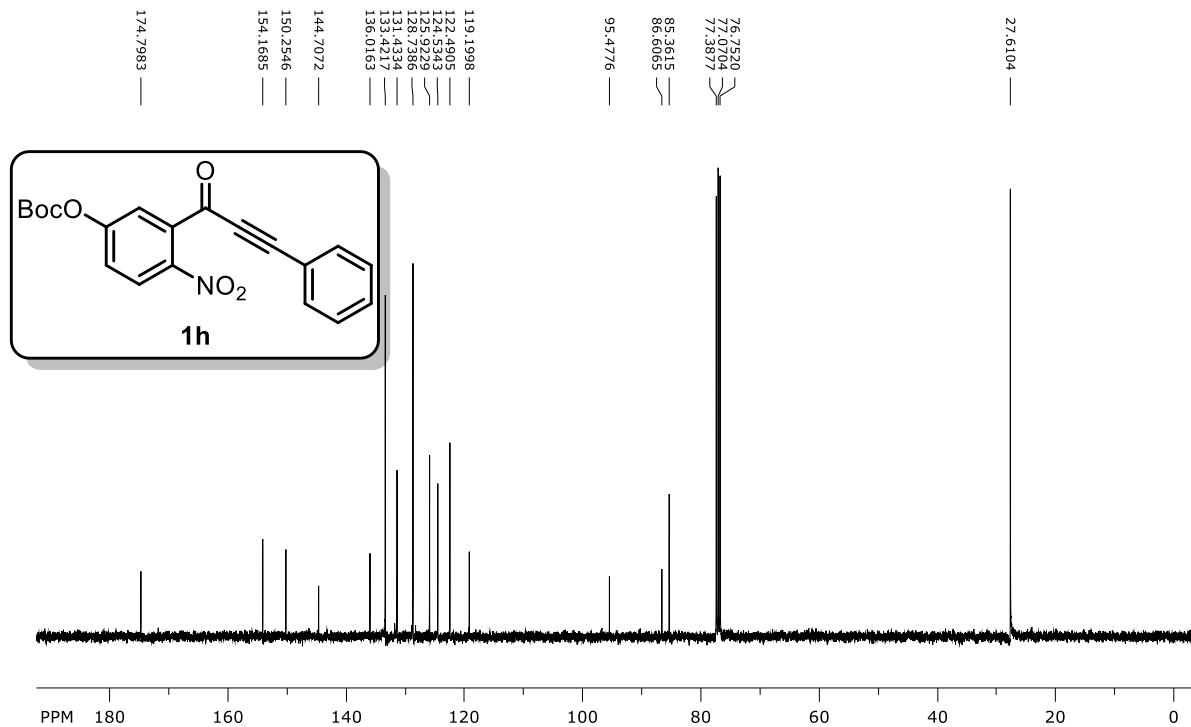
¹³C NMR (100 MHz, CDCl₃):



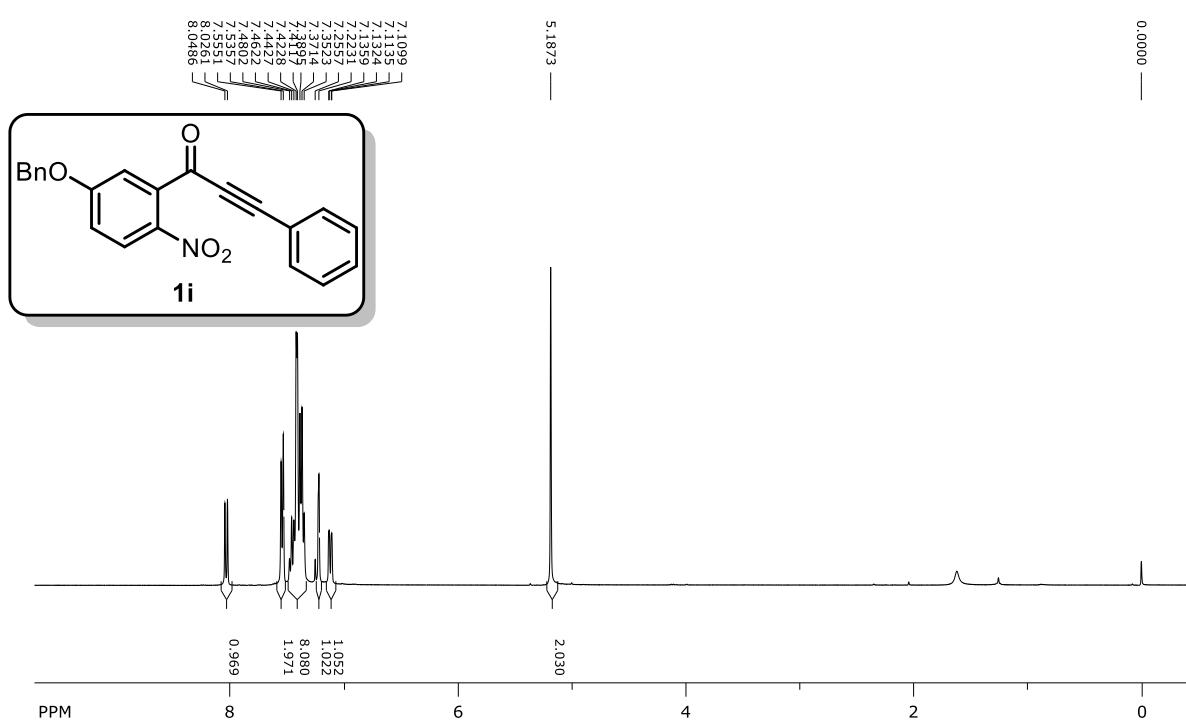
¹H NMR (400 MHz, CDCl₃):



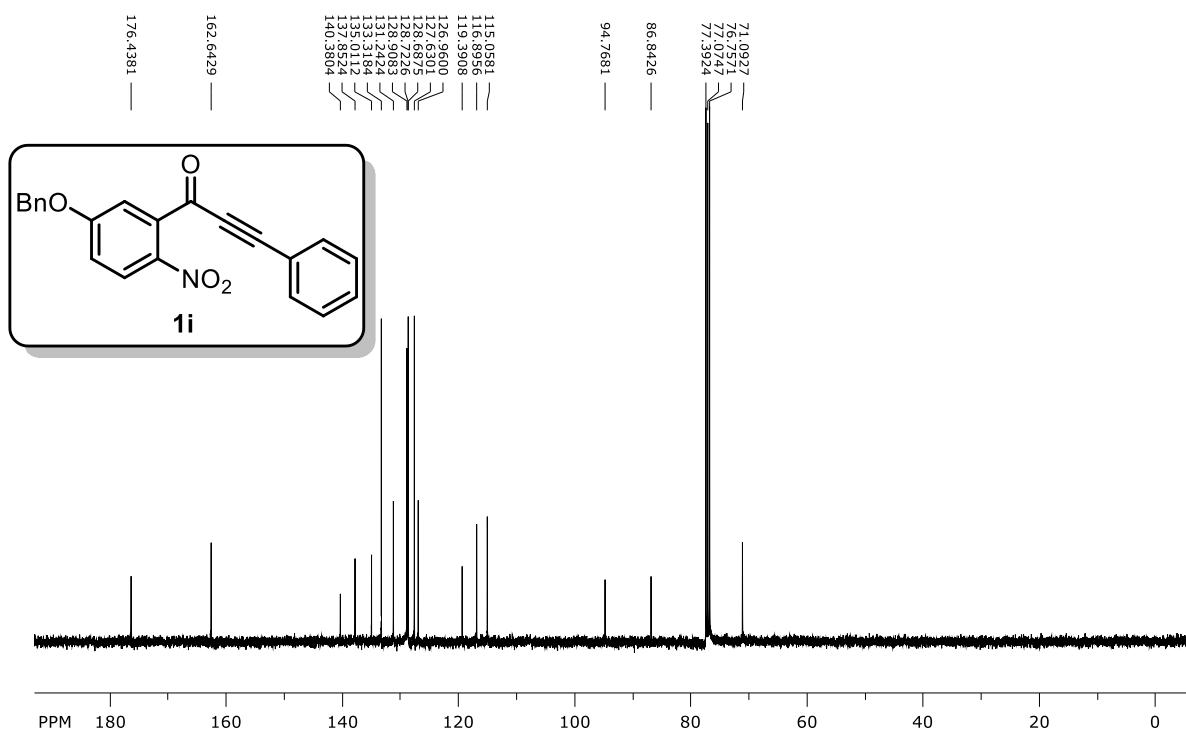
¹³C NMR (100 MHz, CDCl₃):



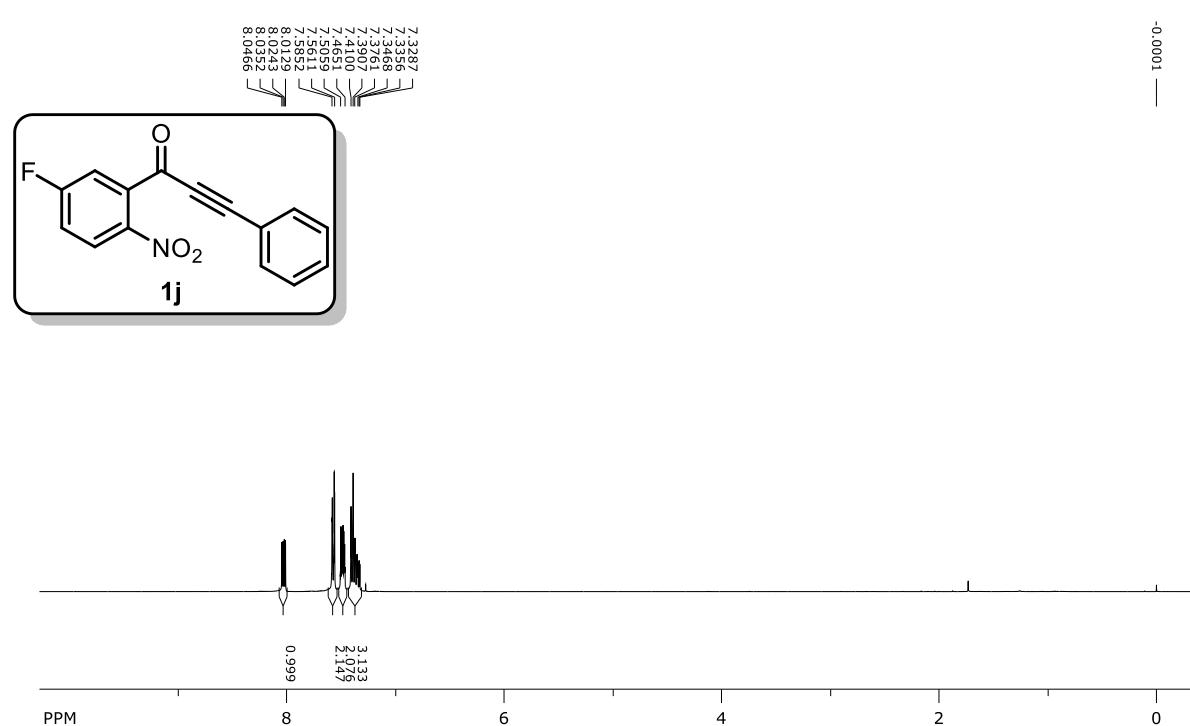
¹H NMR (400 MHz, CDCl₃):



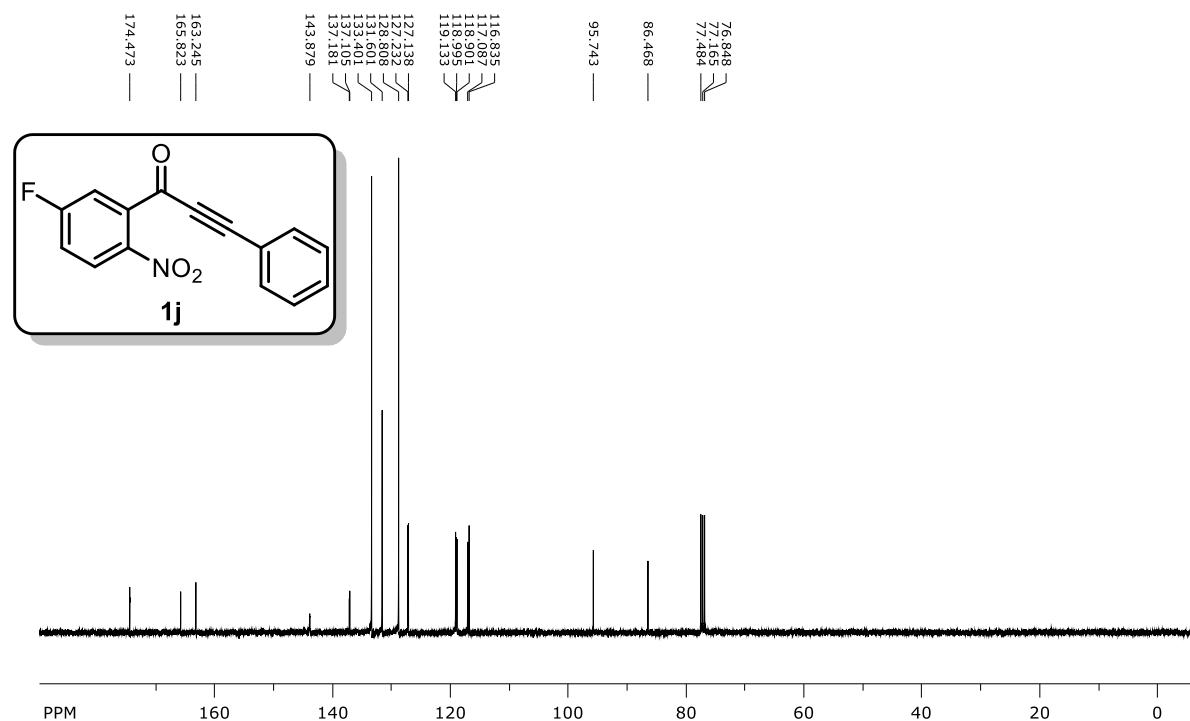
¹³C NMR (100 MHz, CDCl₃):



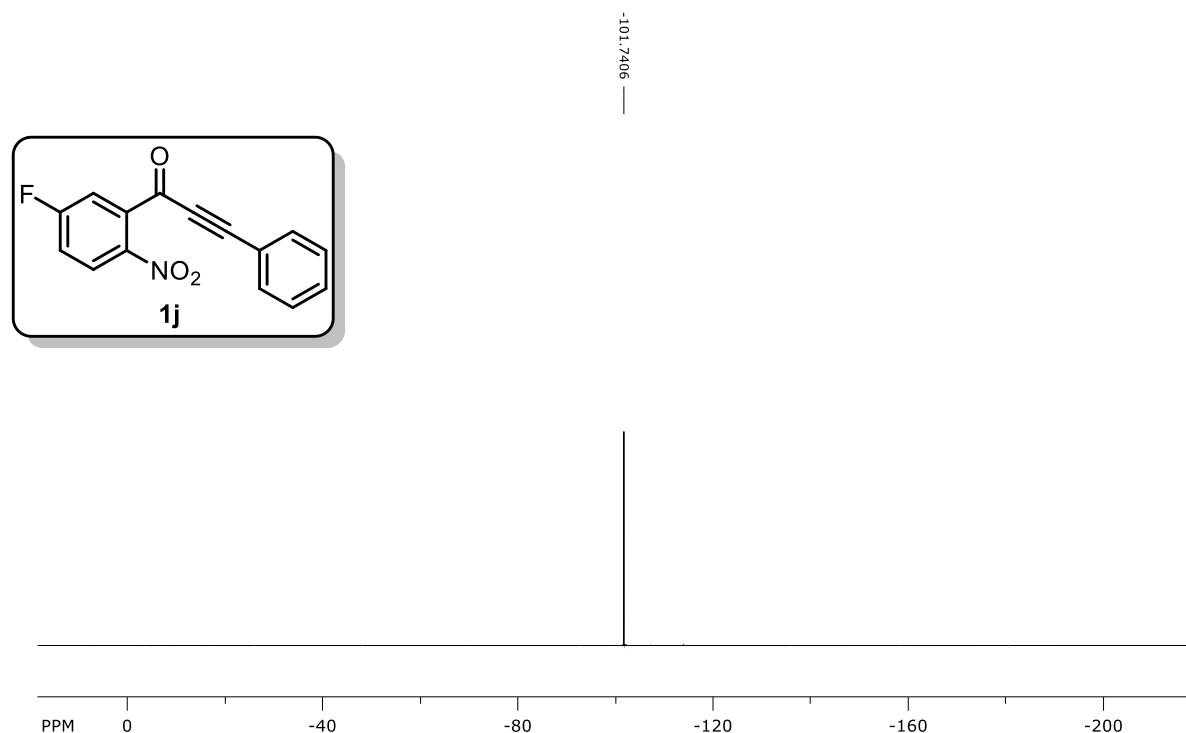
¹H NMR (400 MHz, CDCl₃):



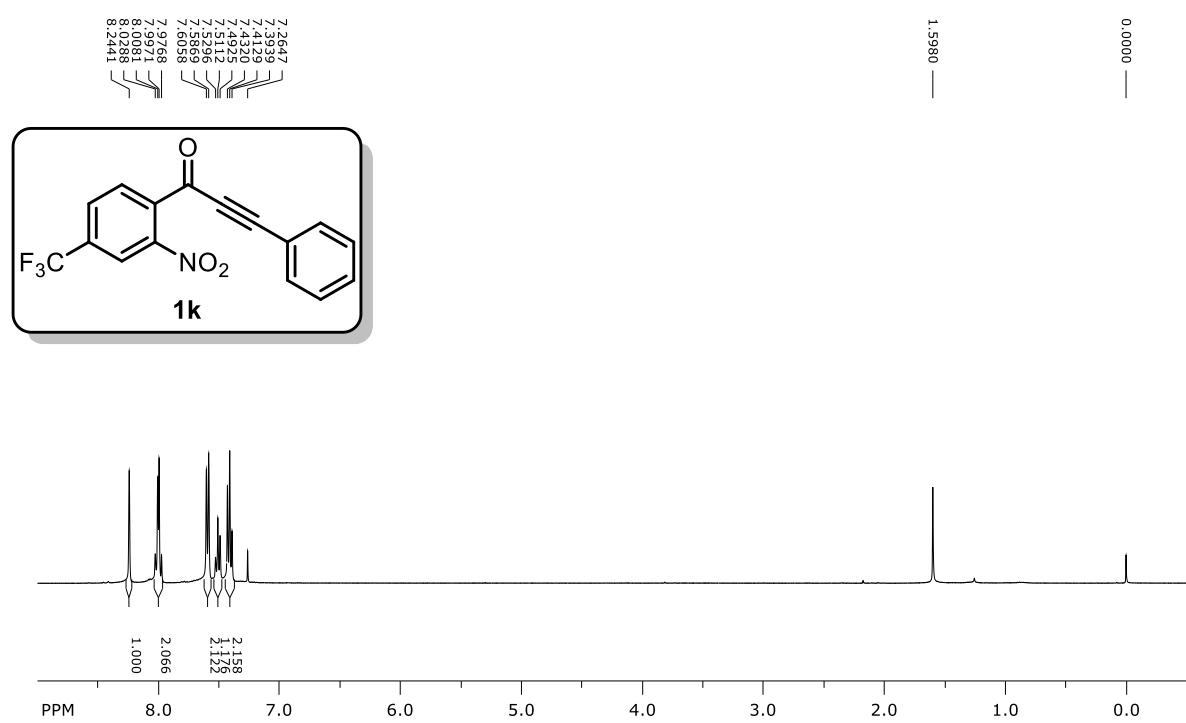
¹³C NMR (100 MHz, CDCl₃):



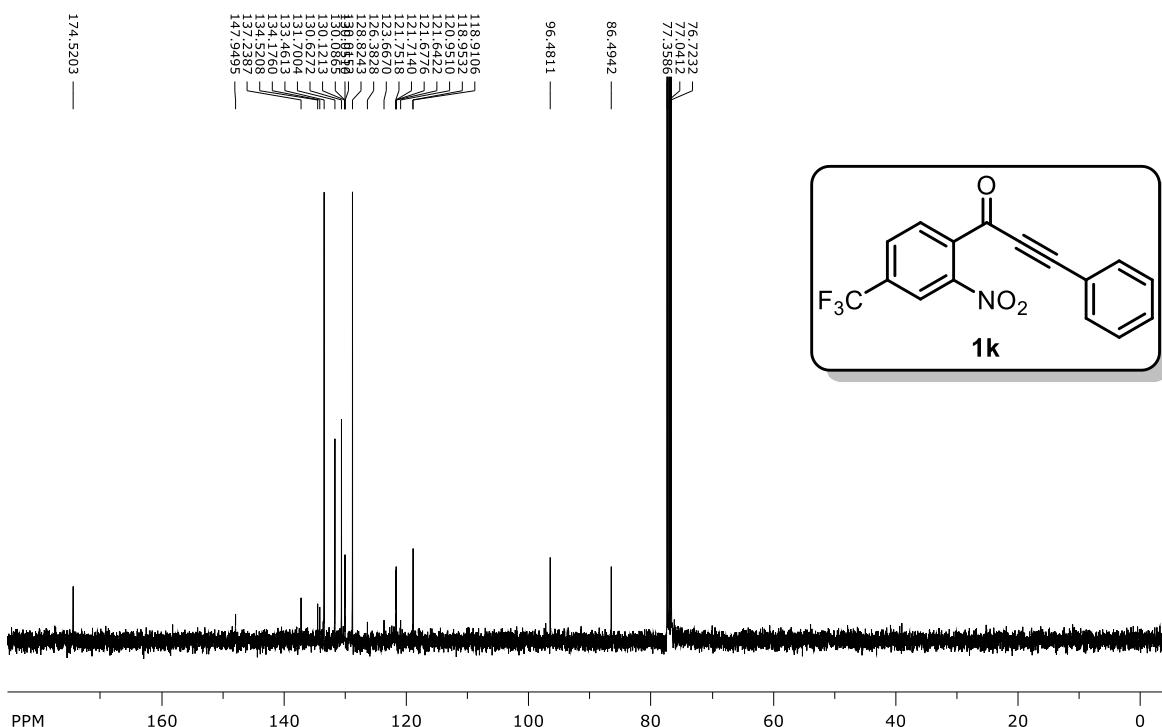
¹⁹F NMR (376.5 MHz, CDCl₃):



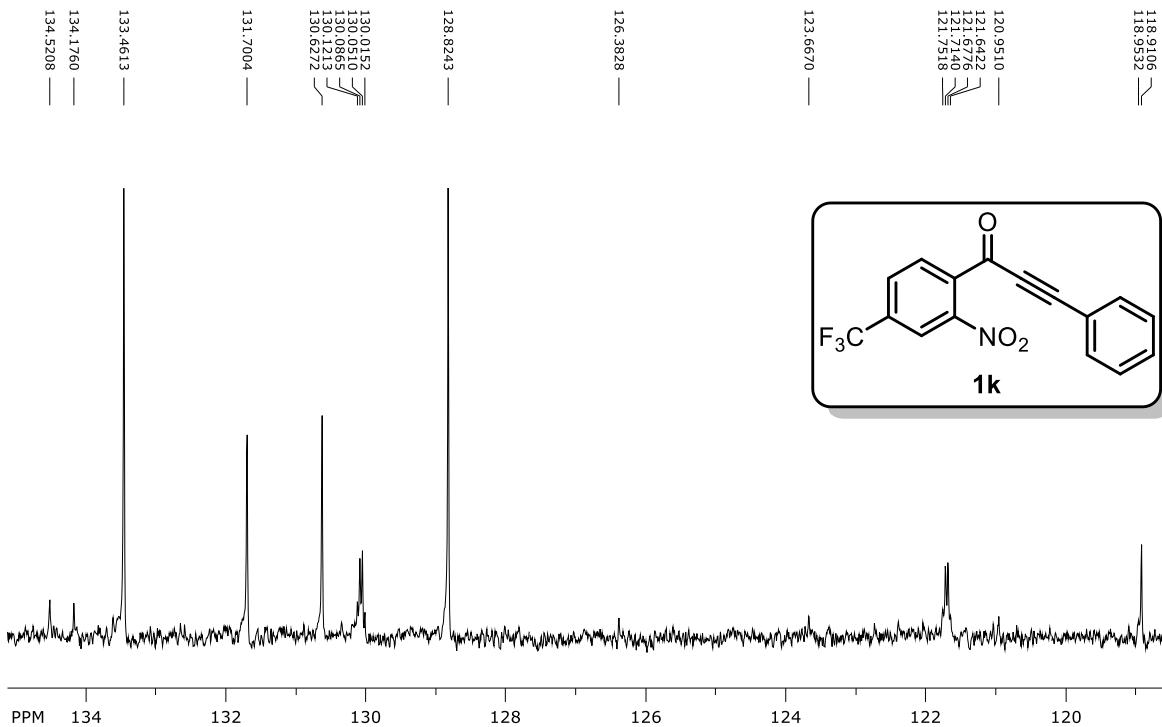
¹H NMR (400 MHz, CDCl₃):



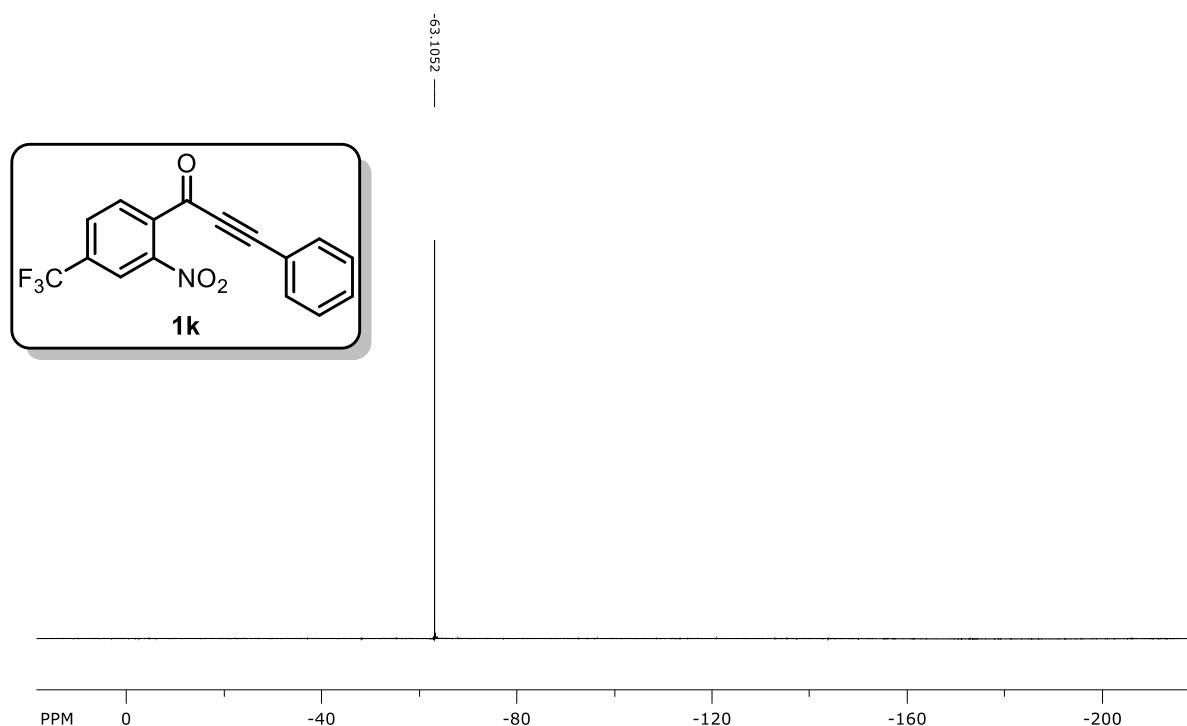
¹³C NMR (100 MHz, CDCl₃):



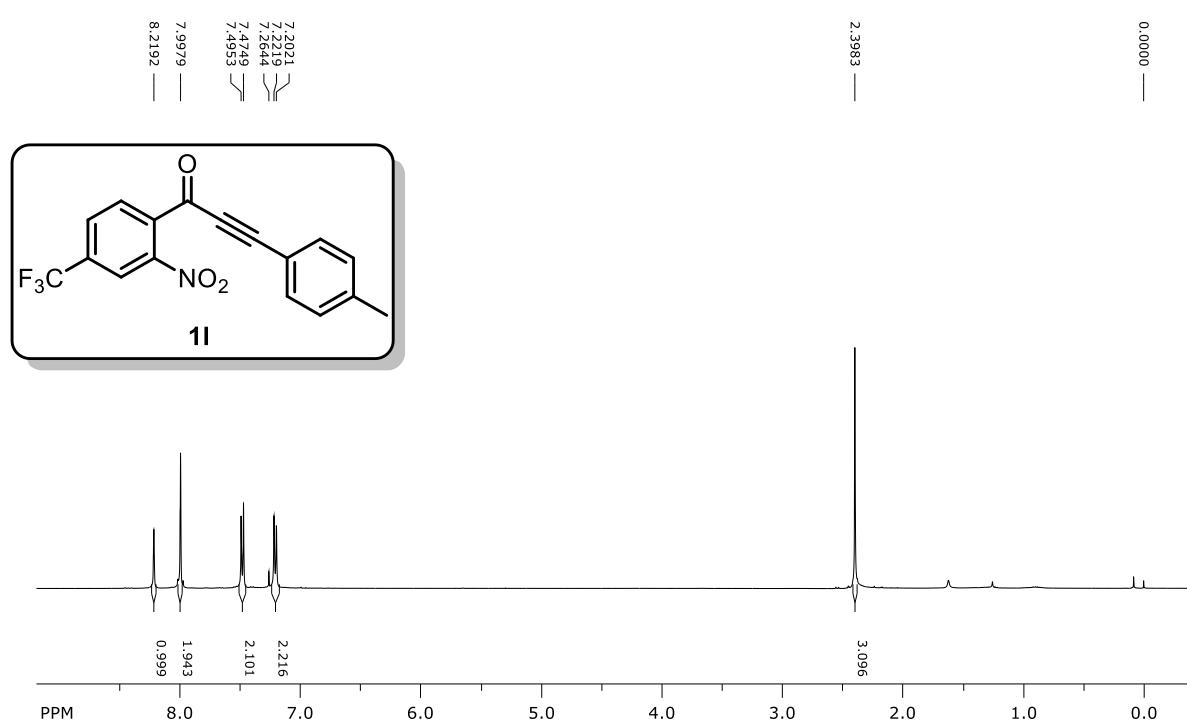
¹³C NMR (100 MHz, CDCl₃):



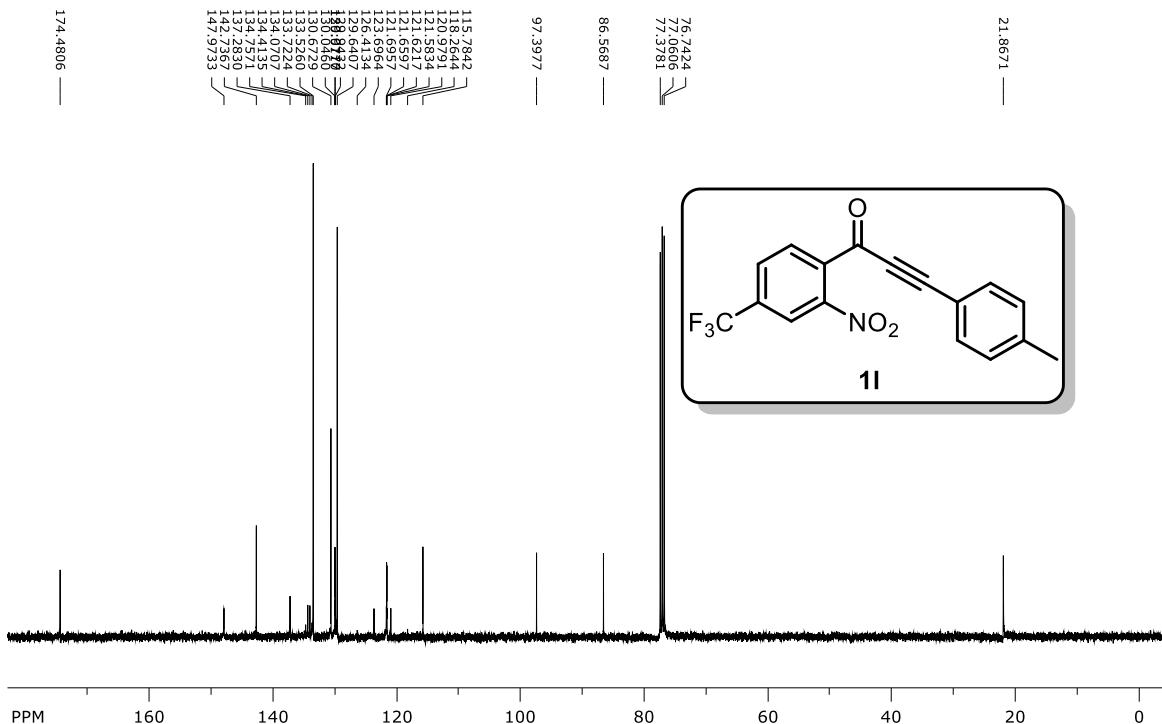
¹⁹F NMR (376.5 MHz, CDCl₃):



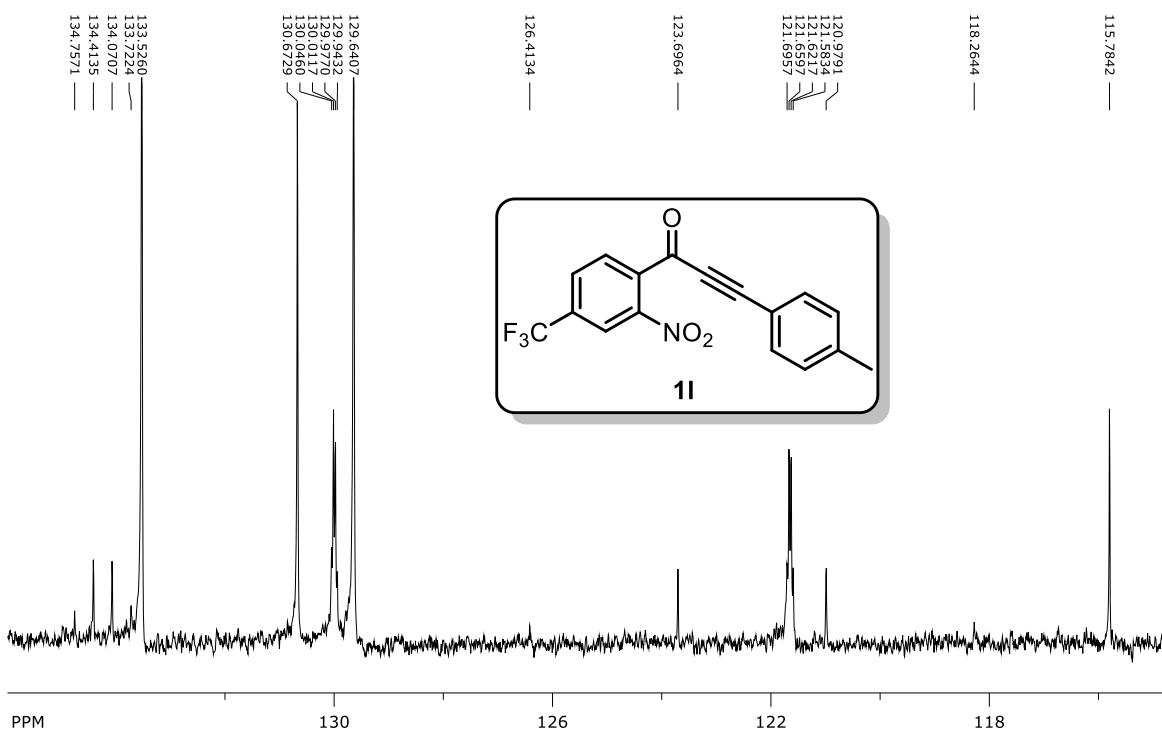
¹H NMR (400 MHz, CDCl₃):



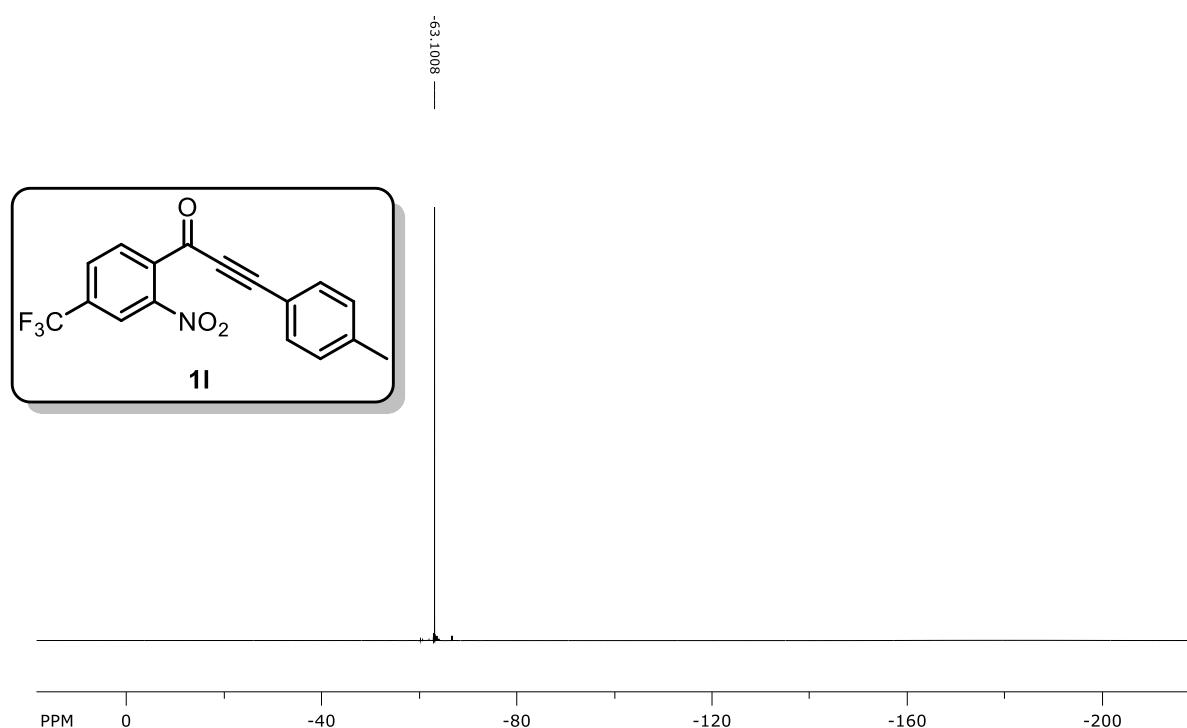
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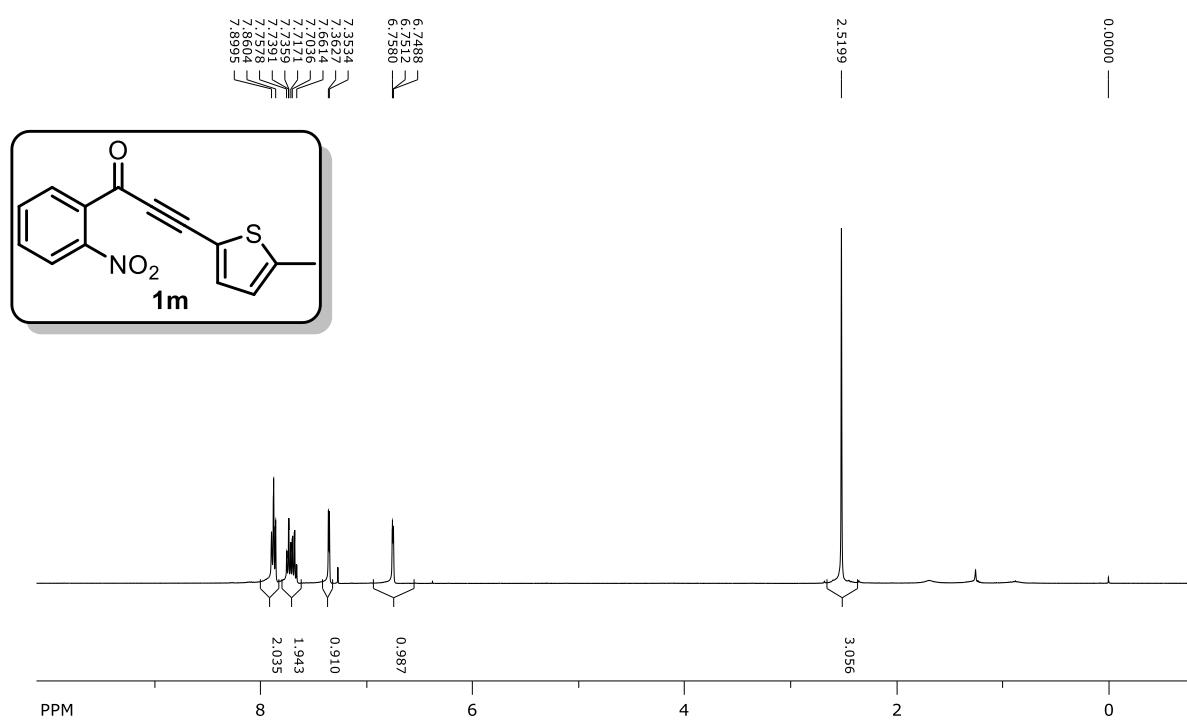
¹³C NMR (100 MHz, CDCl₃):



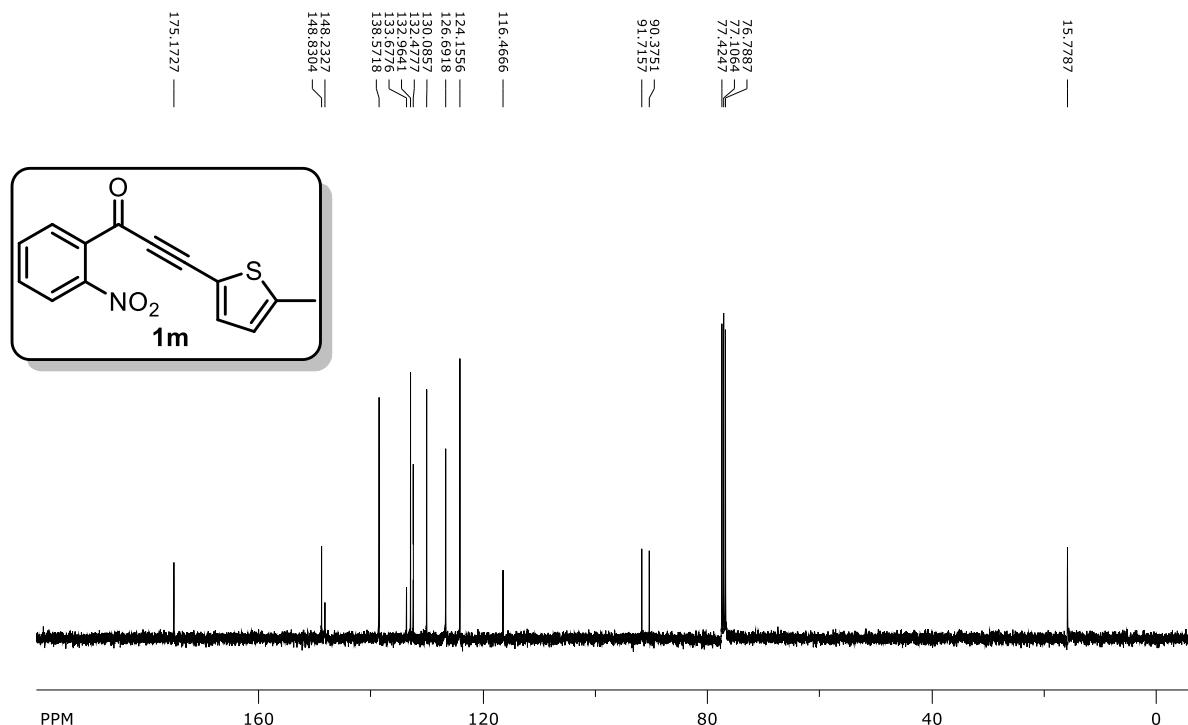
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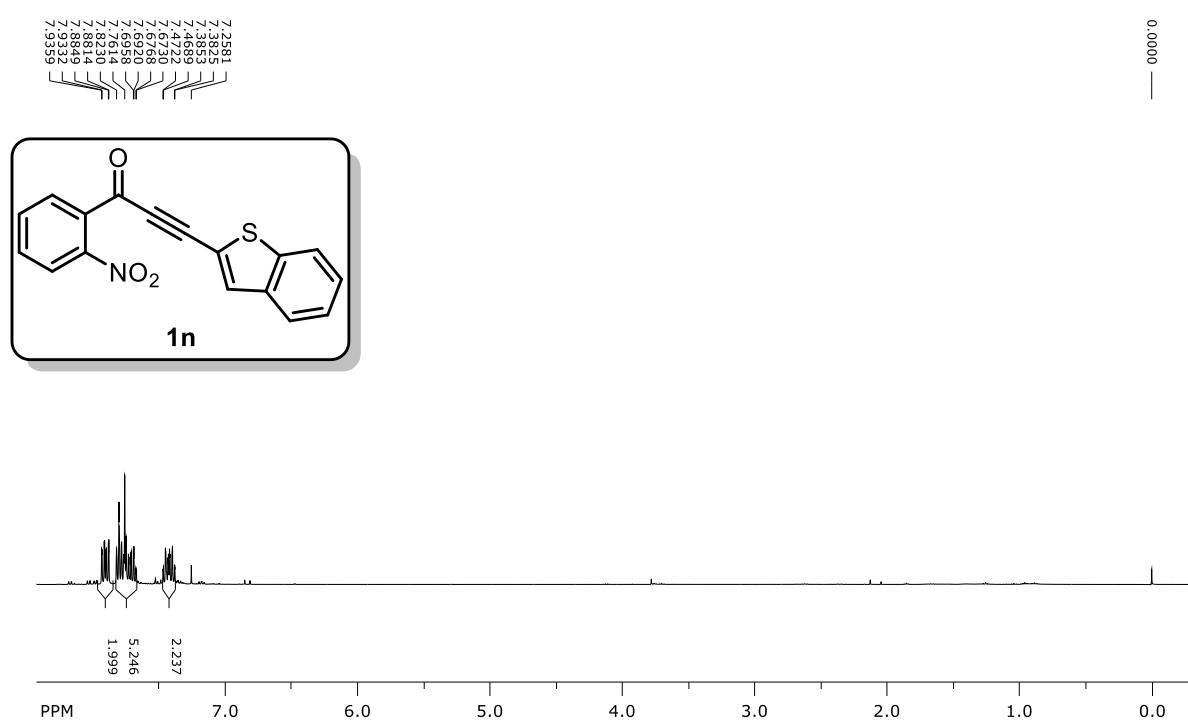
¹H NMR (400 MHz, CDCl₃):



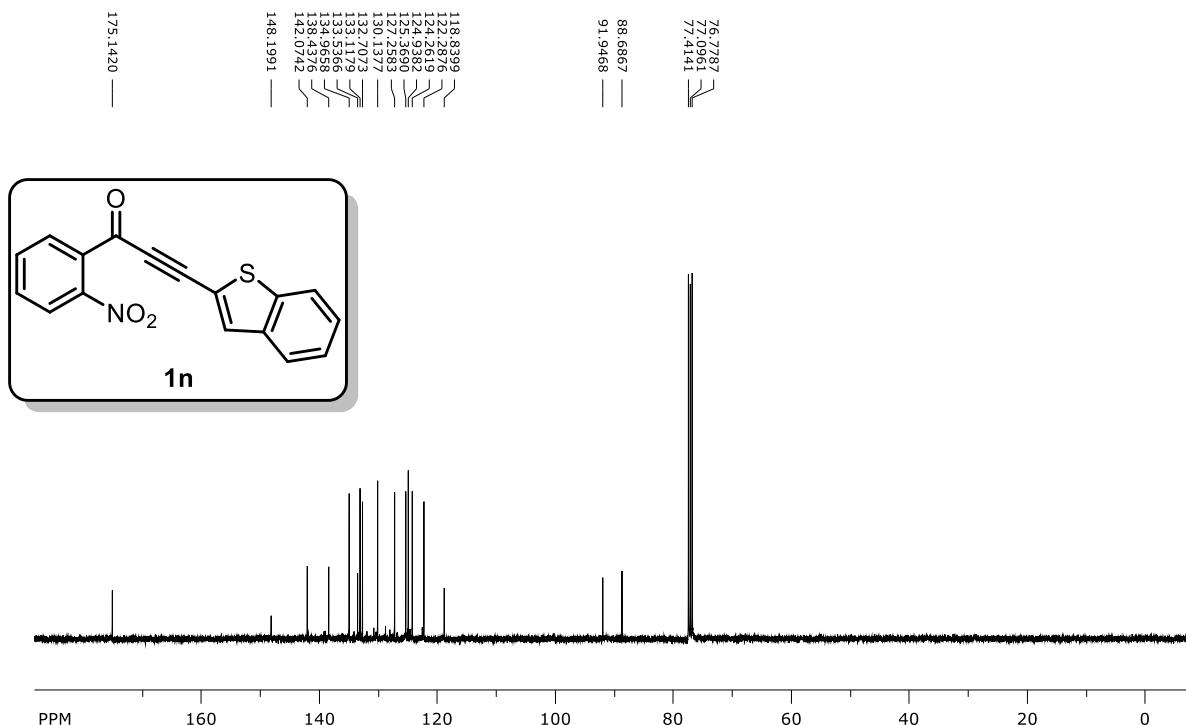
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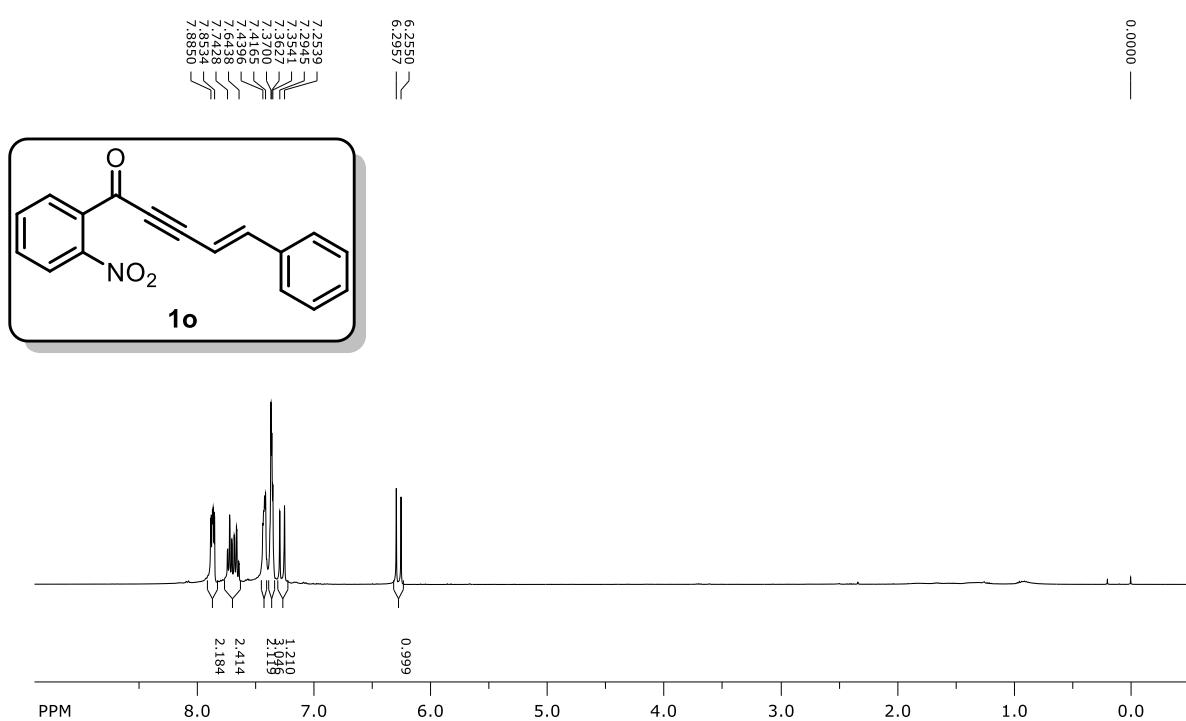
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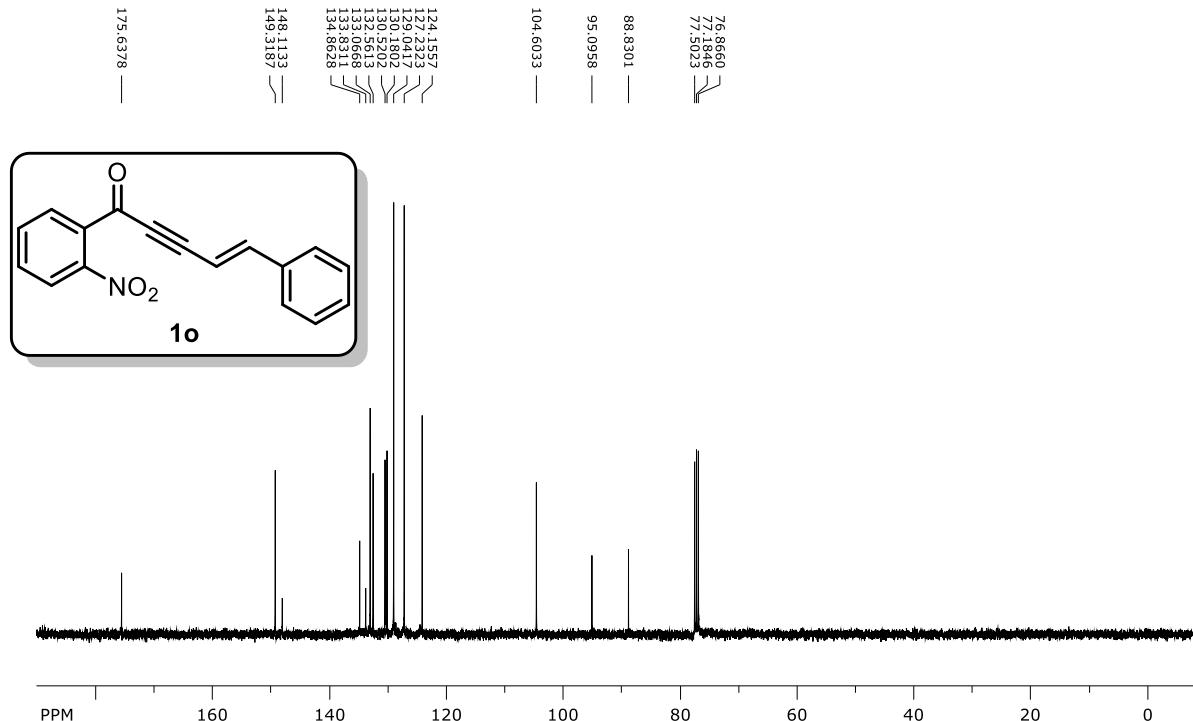
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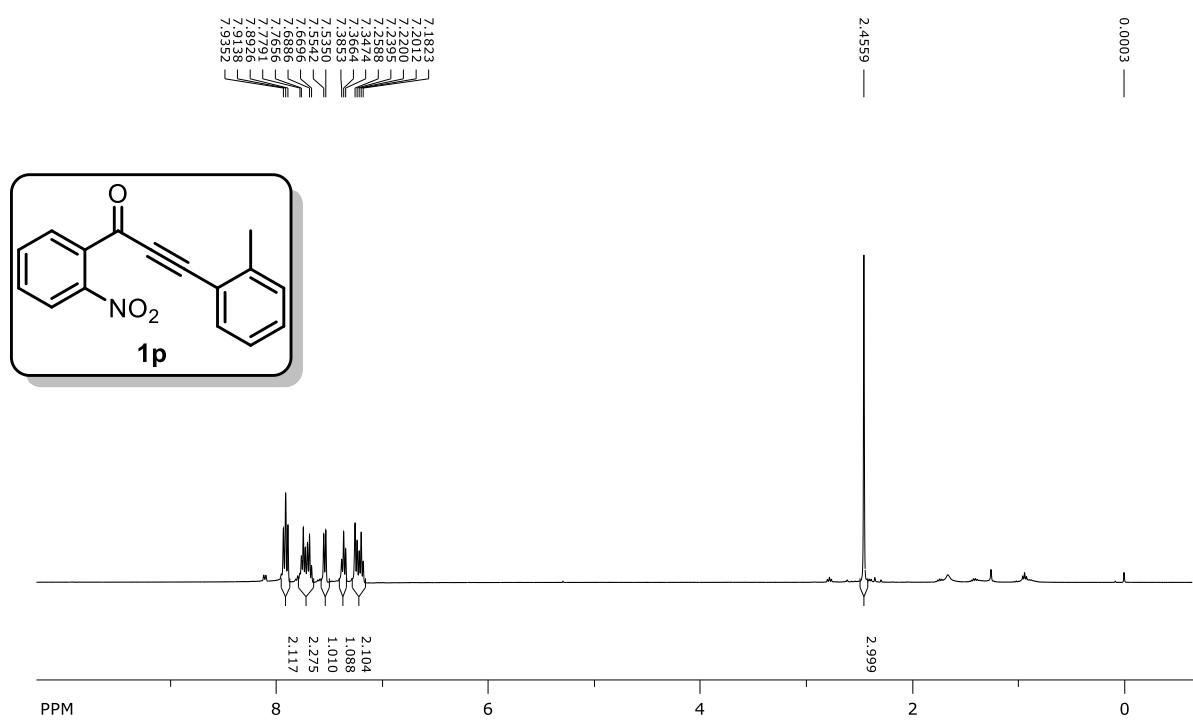
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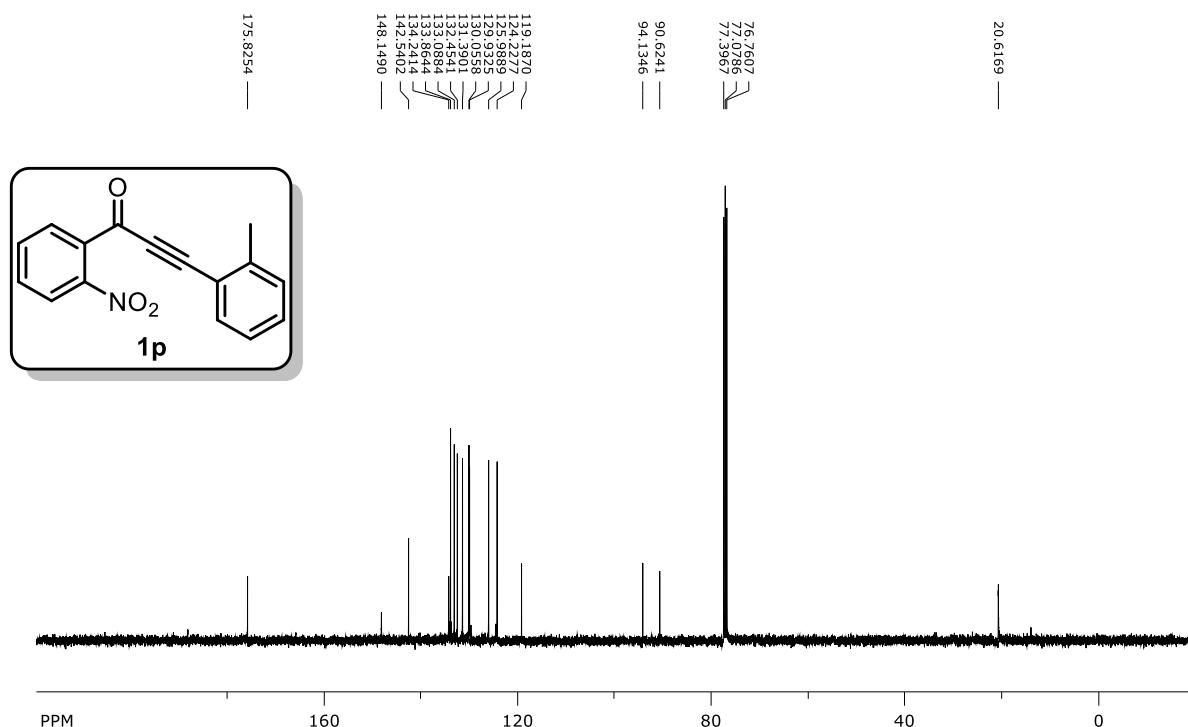
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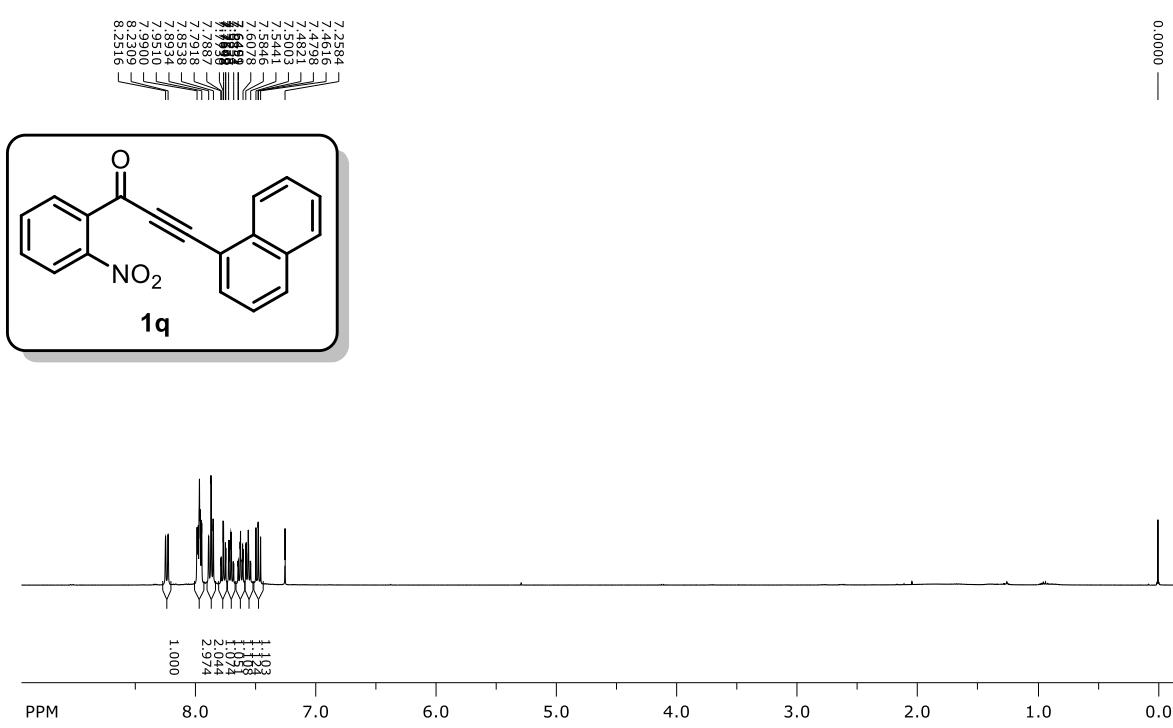
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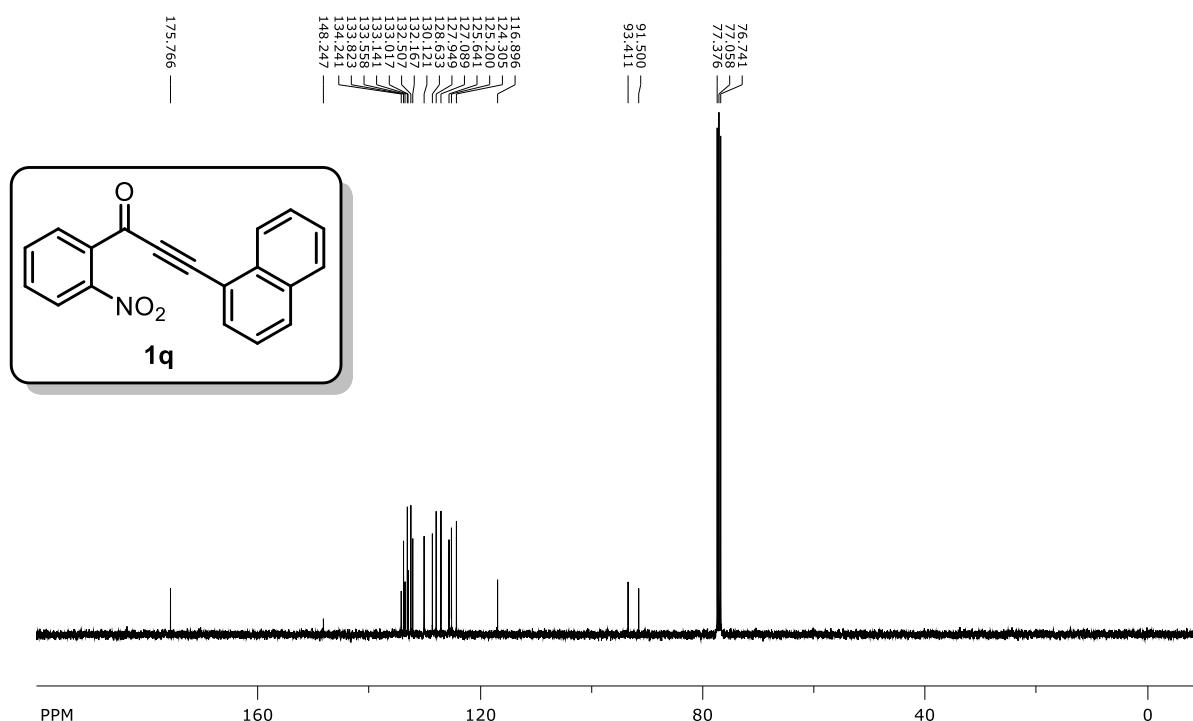
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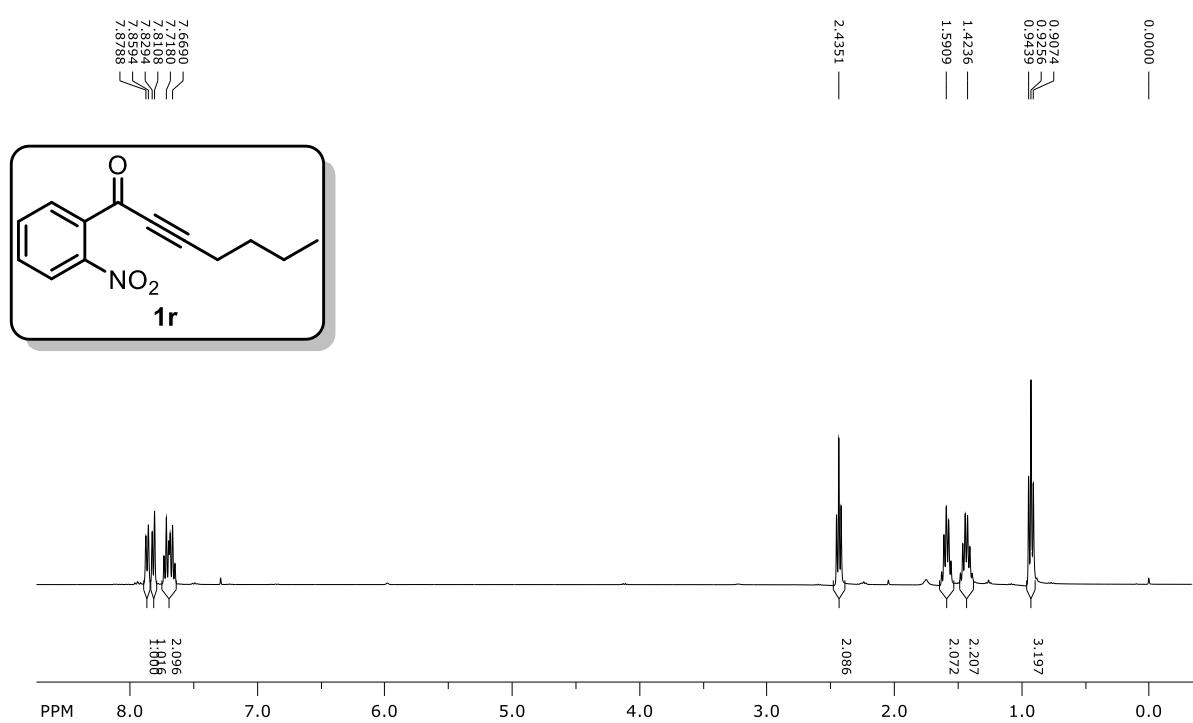
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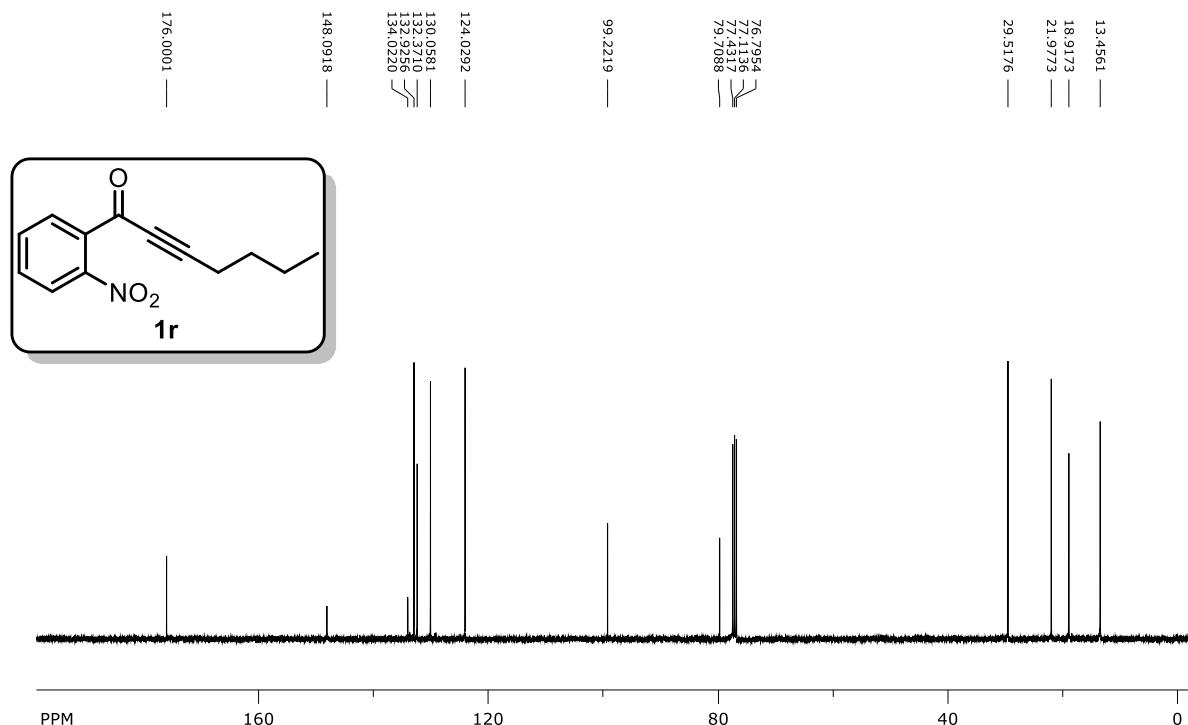
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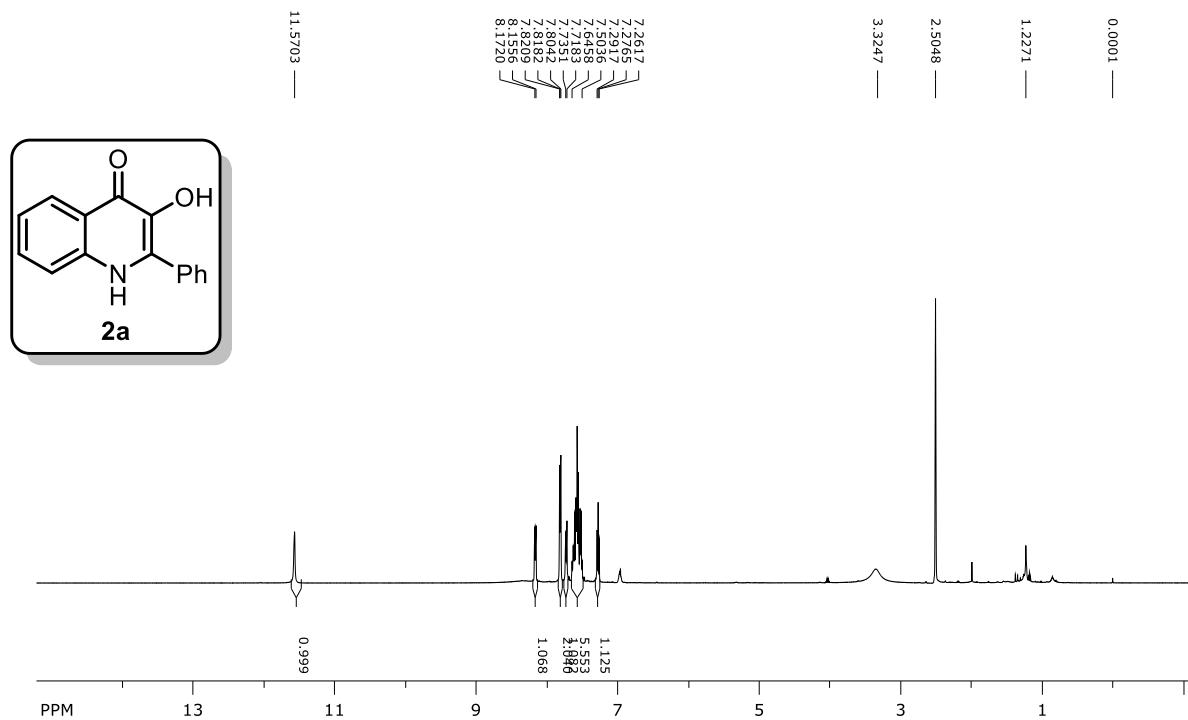
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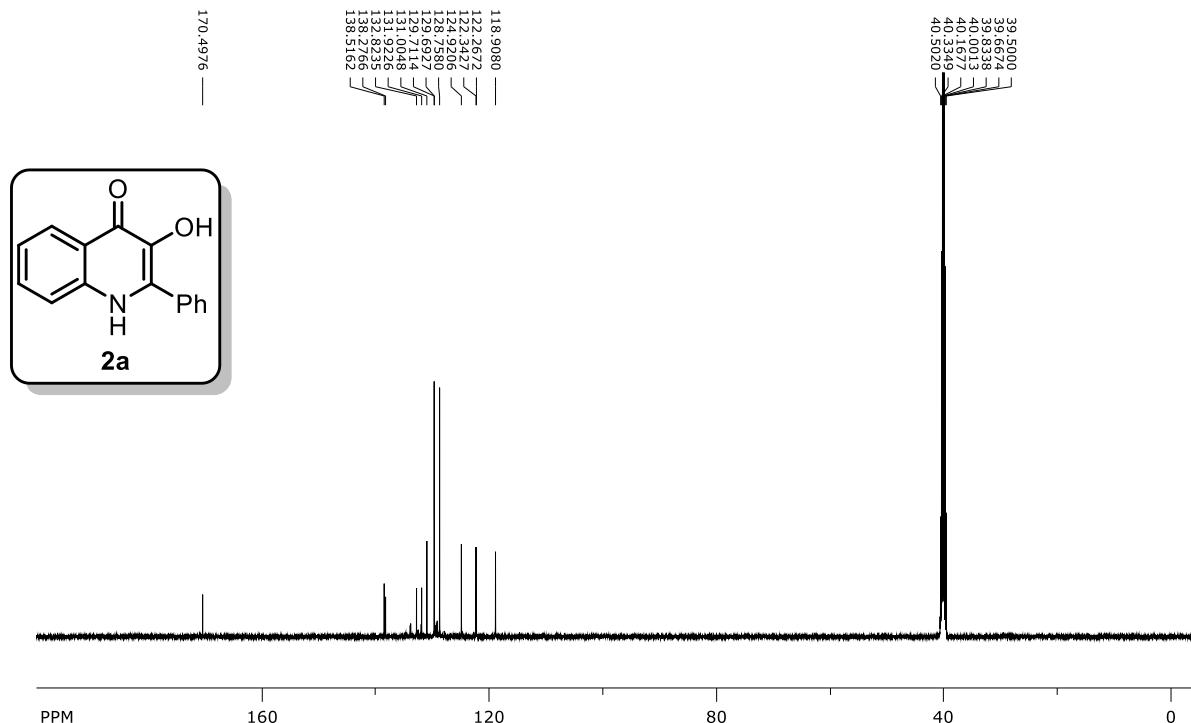
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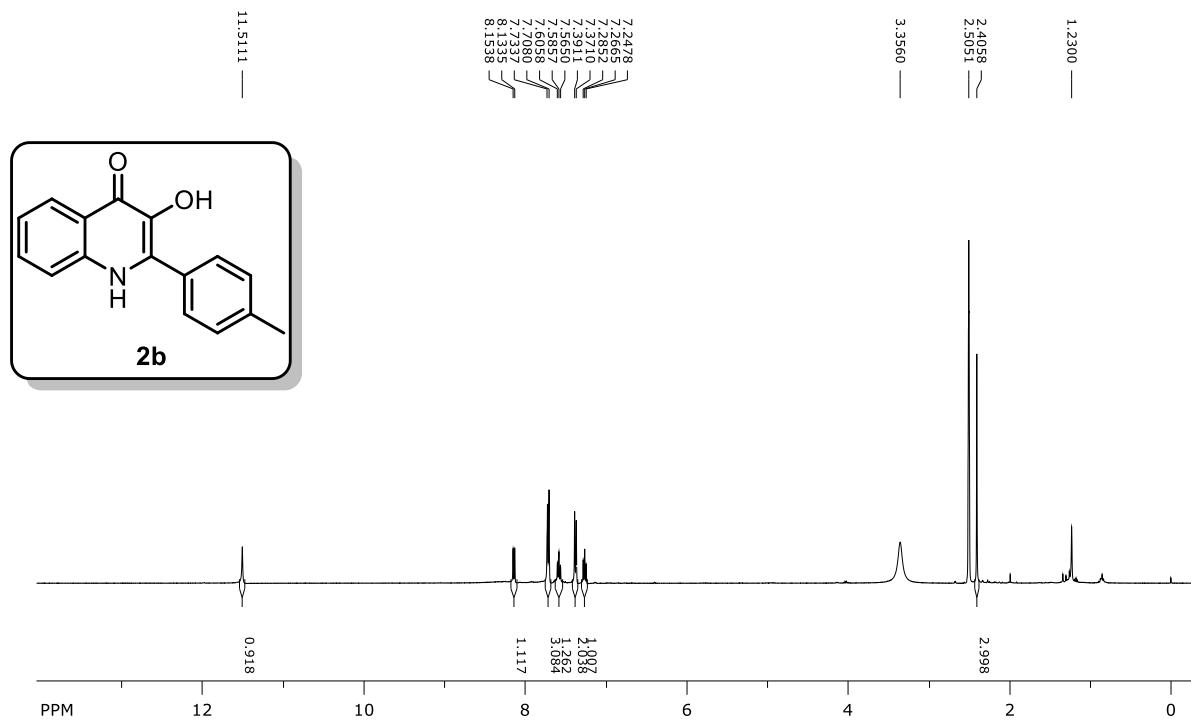
¹H NMR (500 MHz, DMSO-*d*⁶):



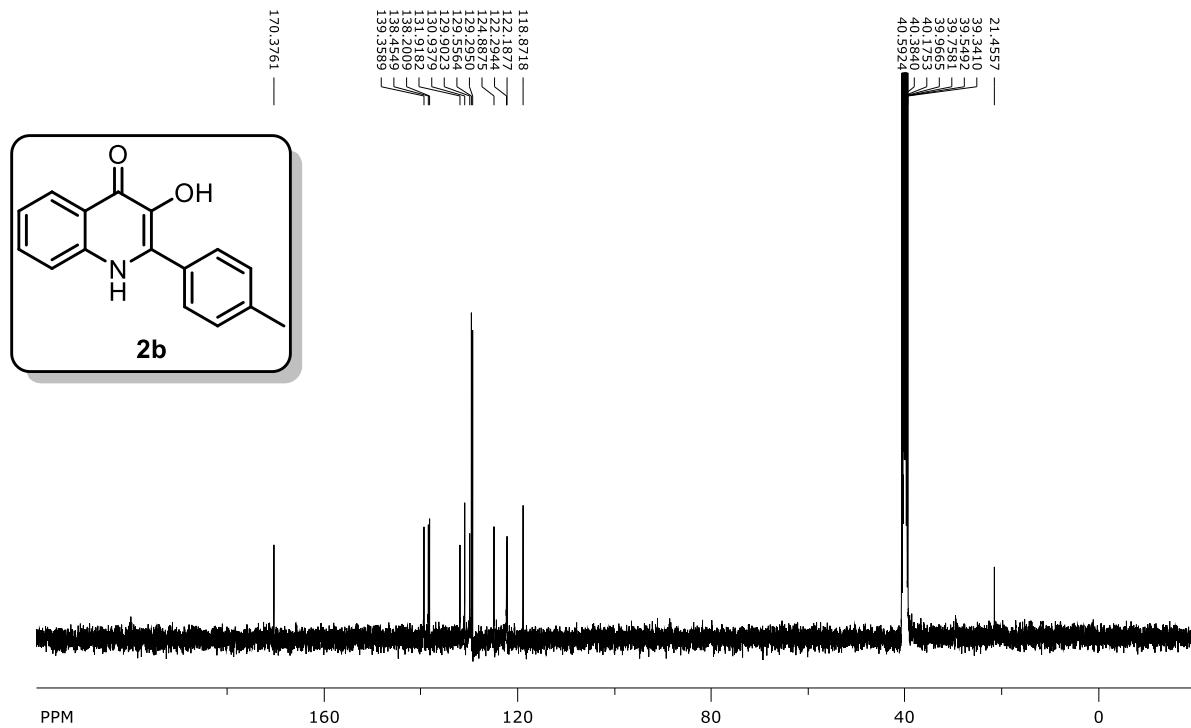
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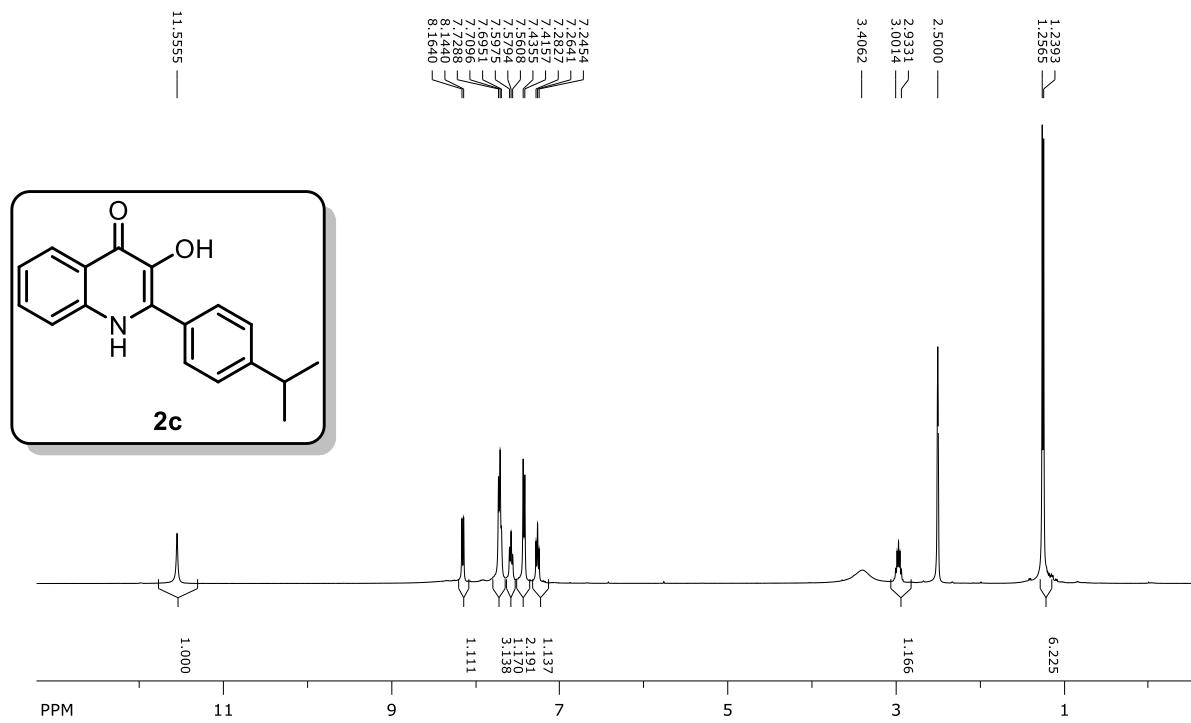
¹H NMR (400 MHz, DMSO-*d*⁶):



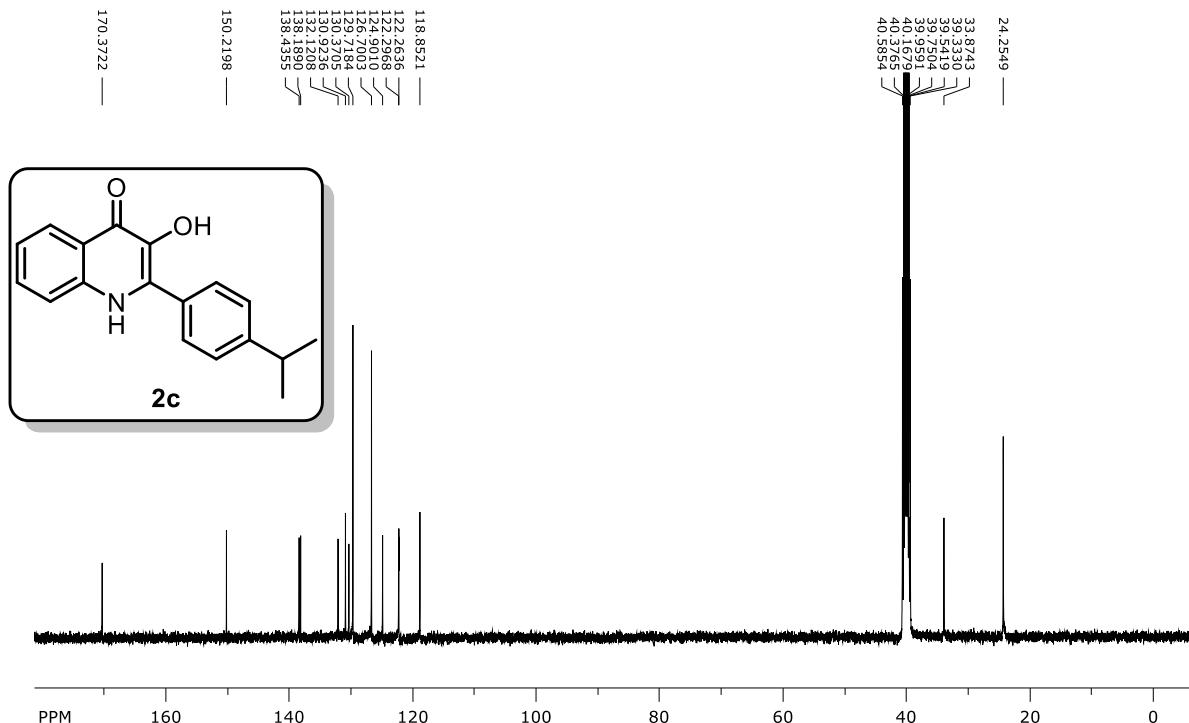
¹³C NMR (100 MHz, DMSO-*d*⁶):



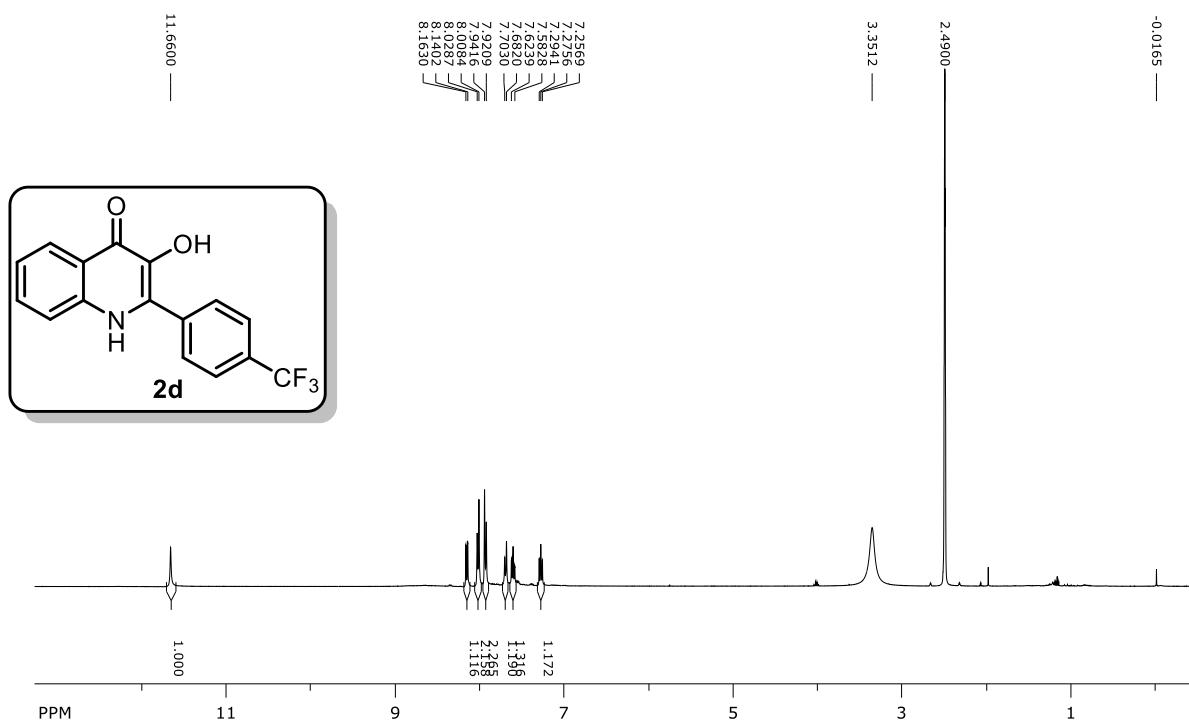
¹H NMR (400 MHz, DMSO-*d*⁶):



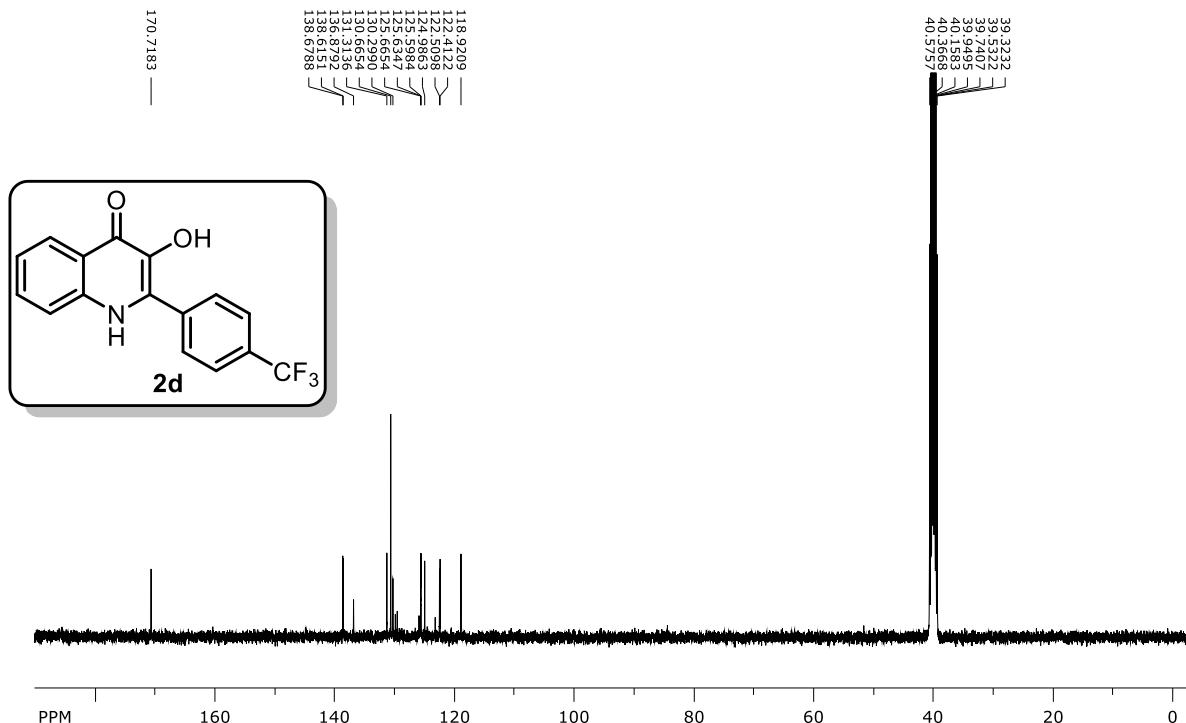
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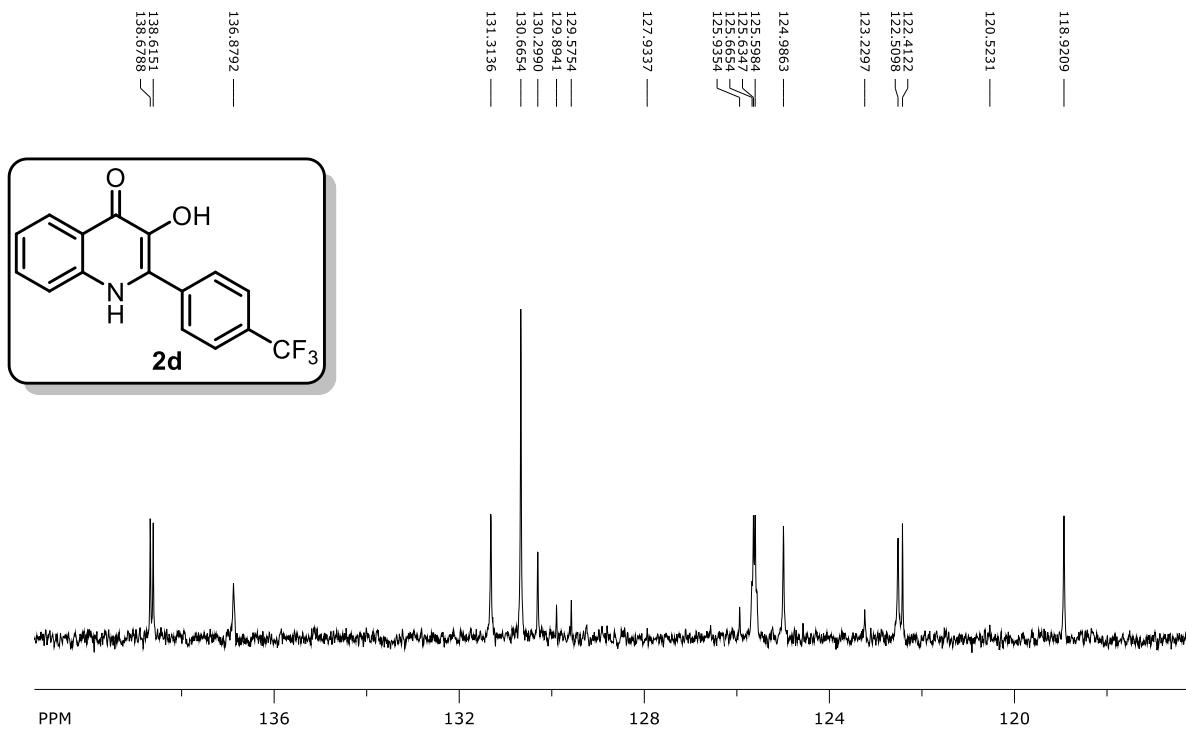
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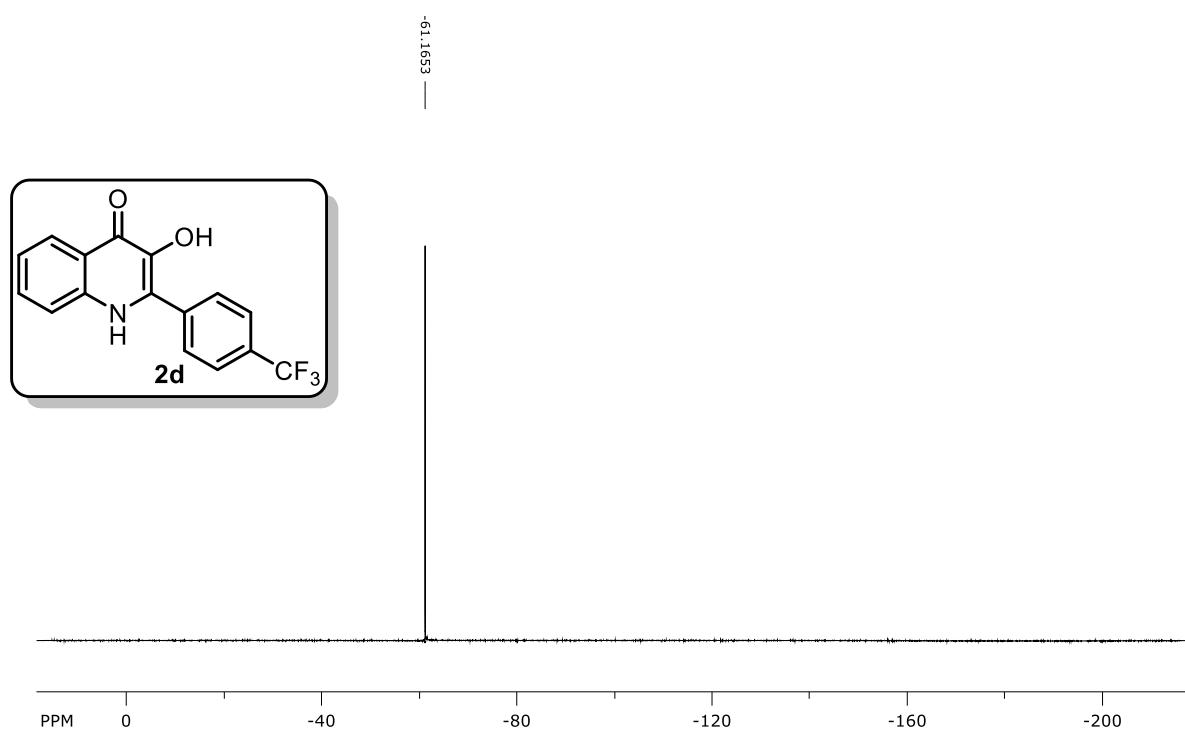
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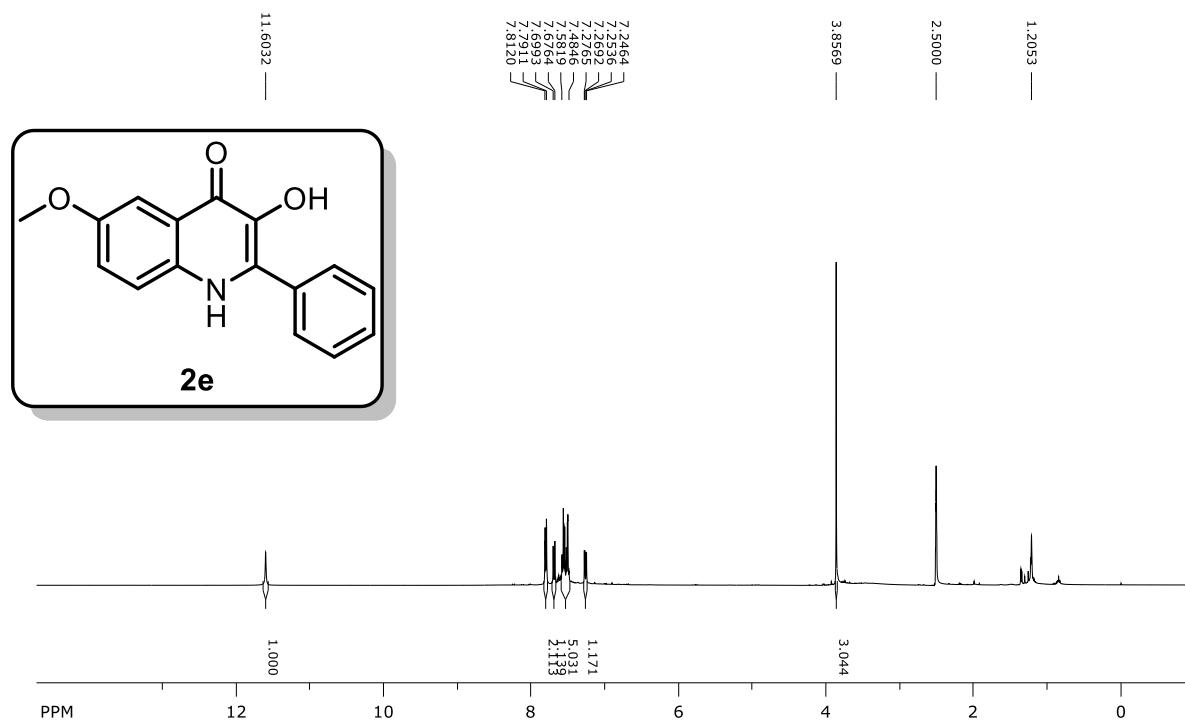
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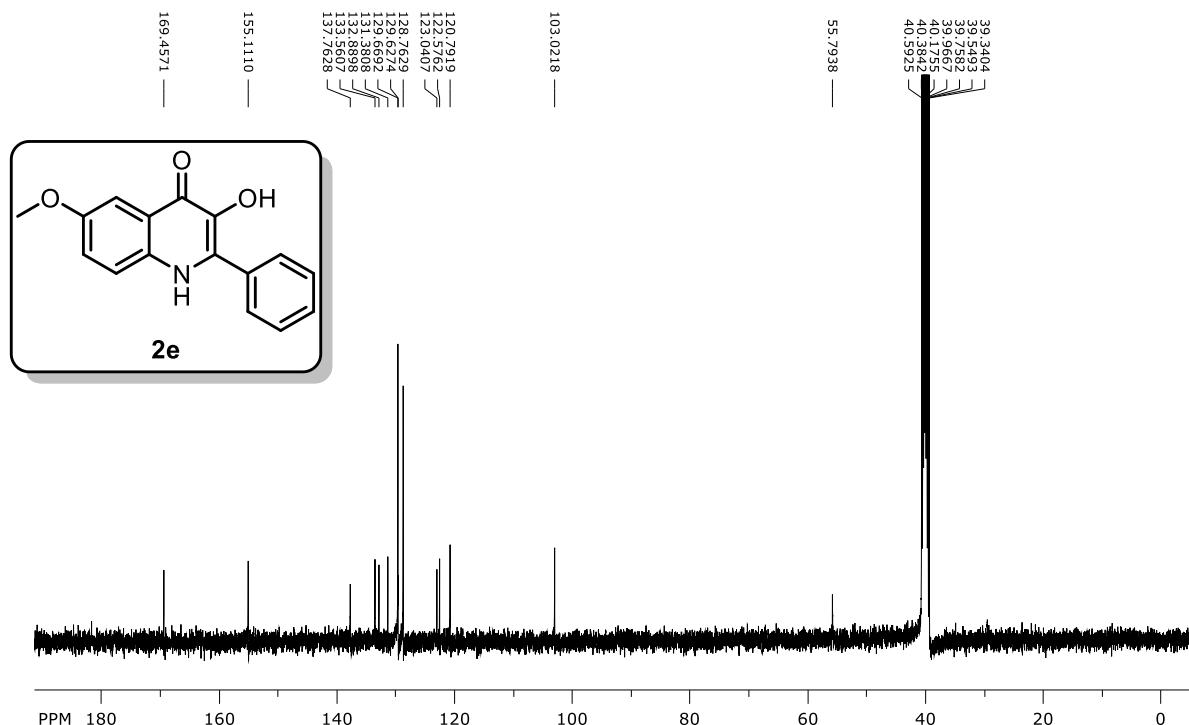
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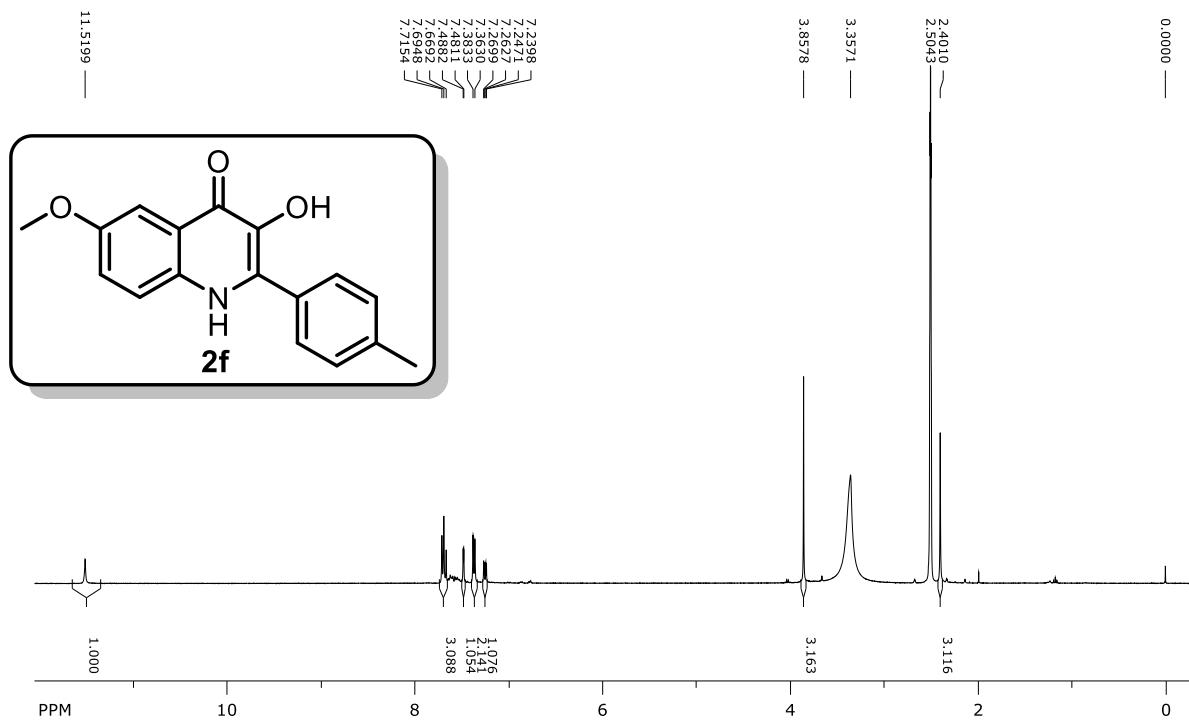
¹H NMR (400 MHz, DMSO-*d*⁶):



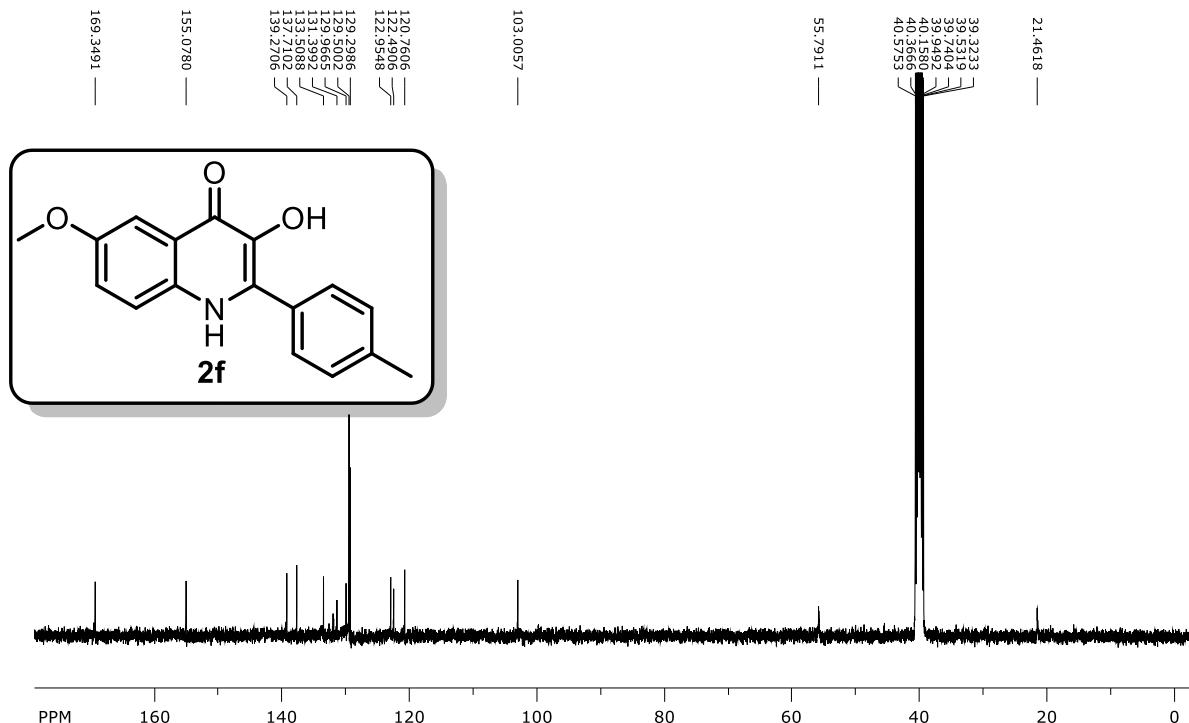
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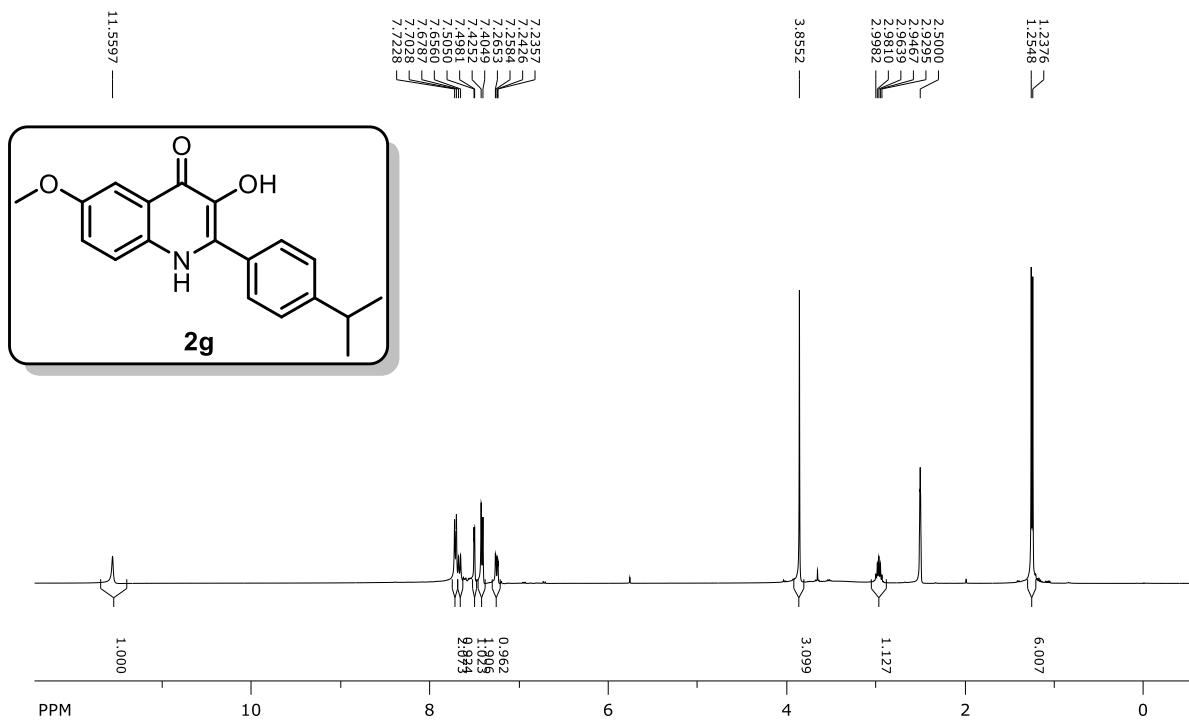
¹H NMR (400 MHz, DMSO-*d*⁶):



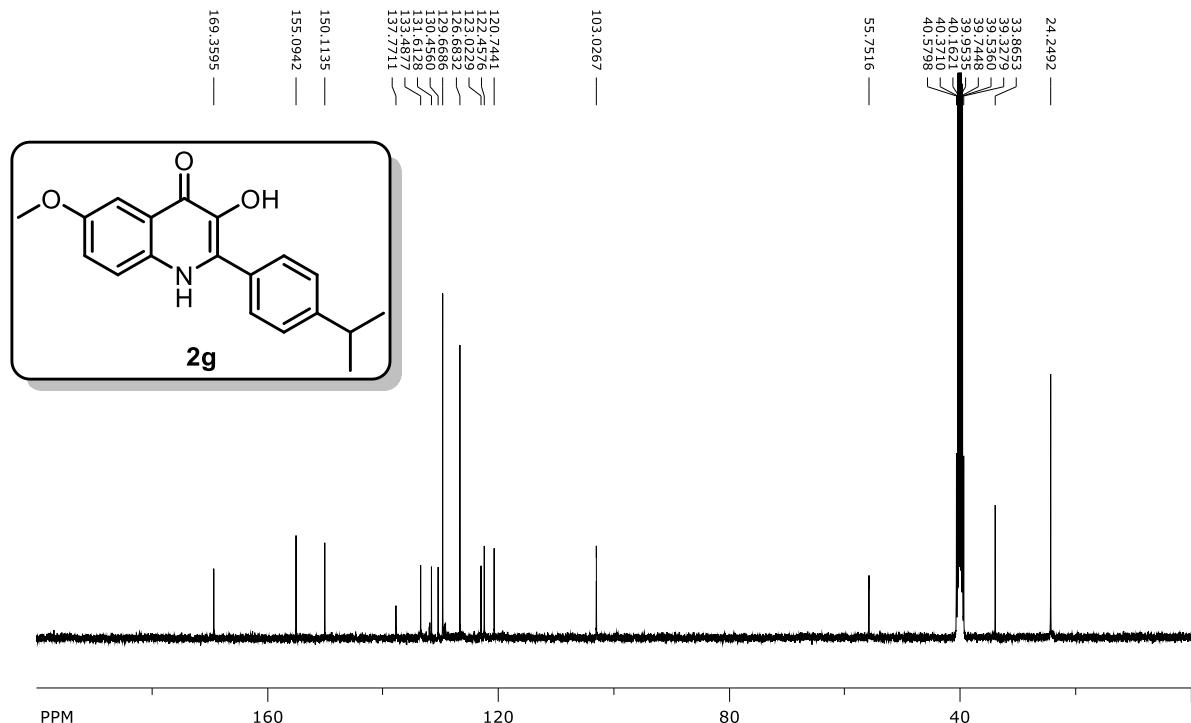
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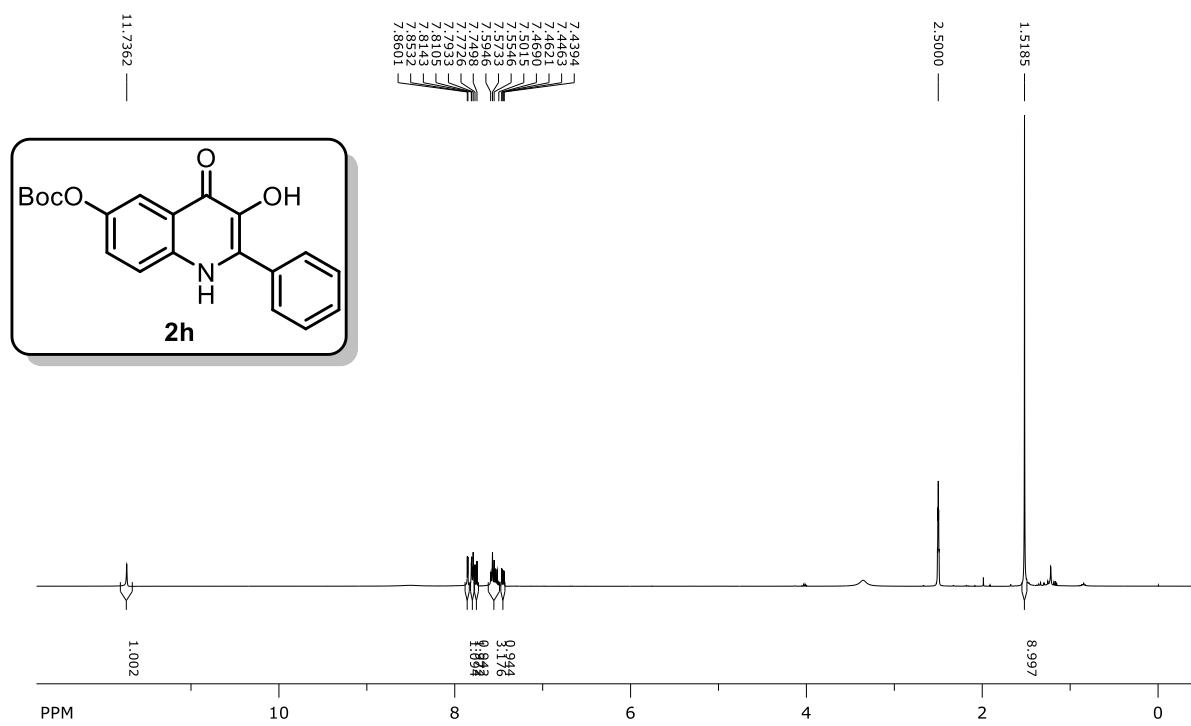
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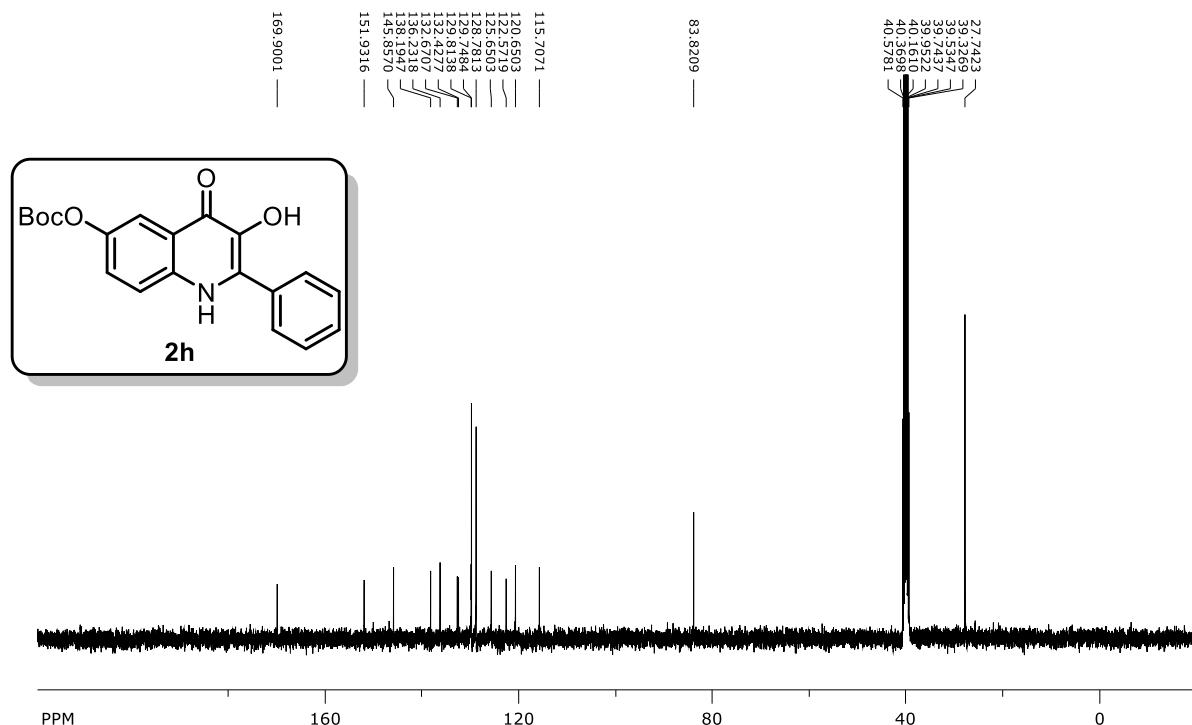
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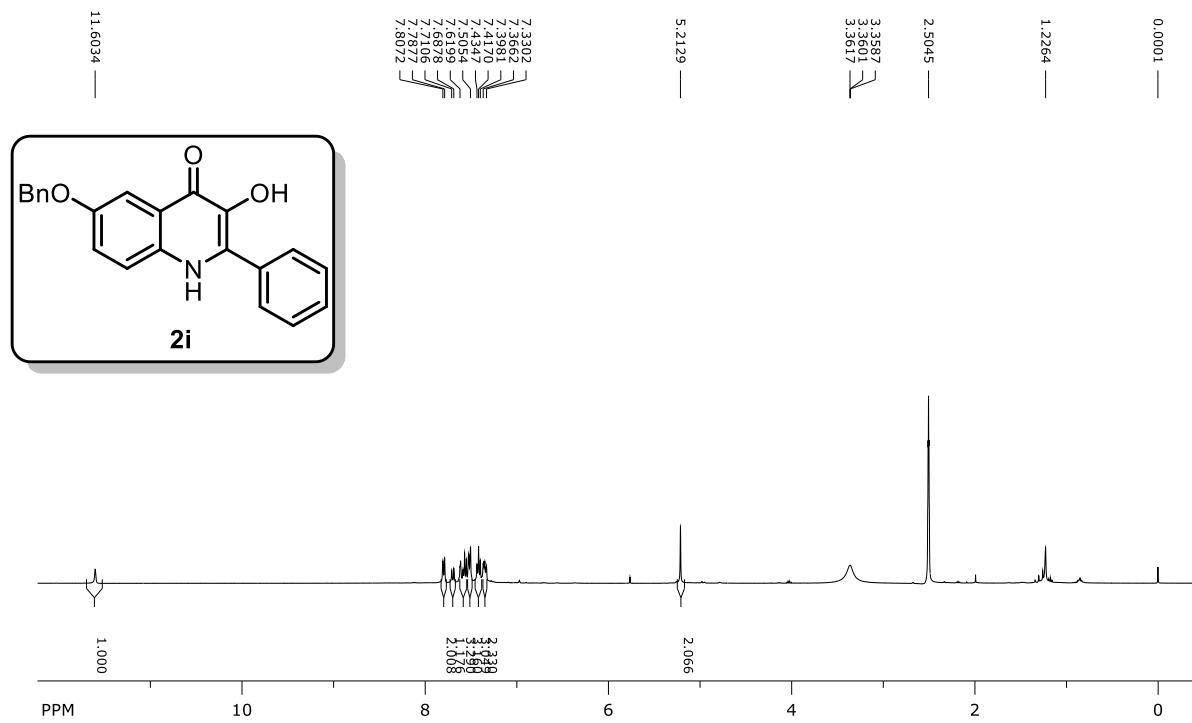
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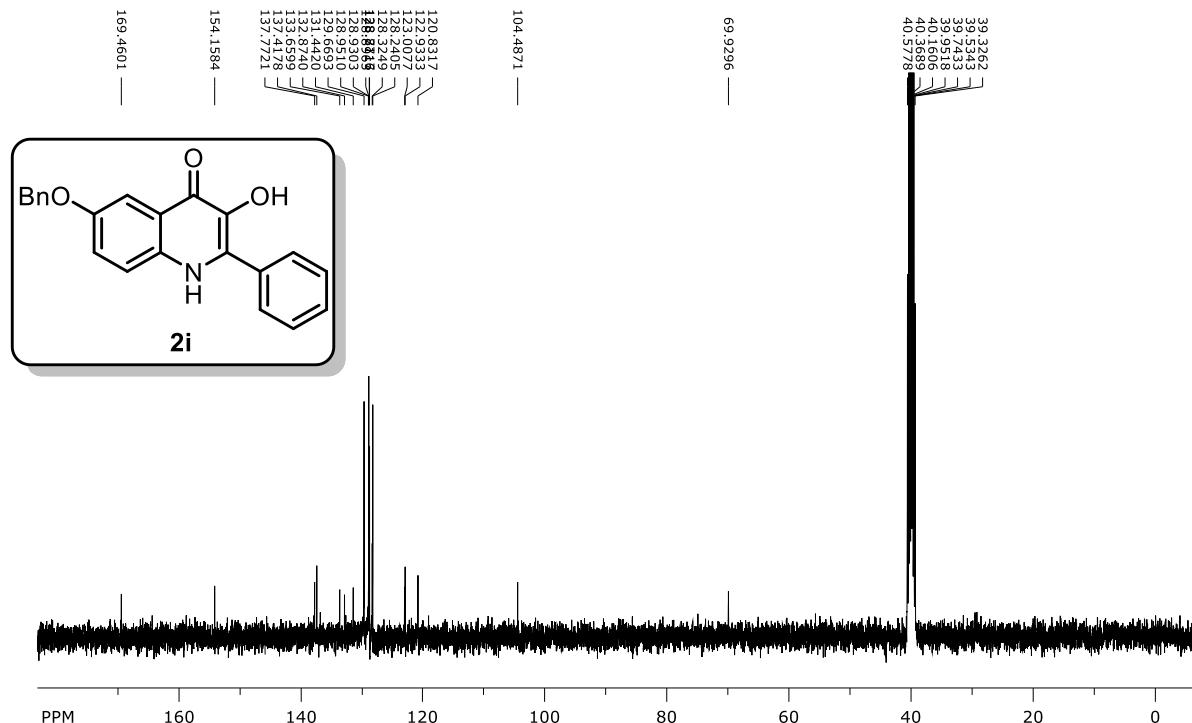
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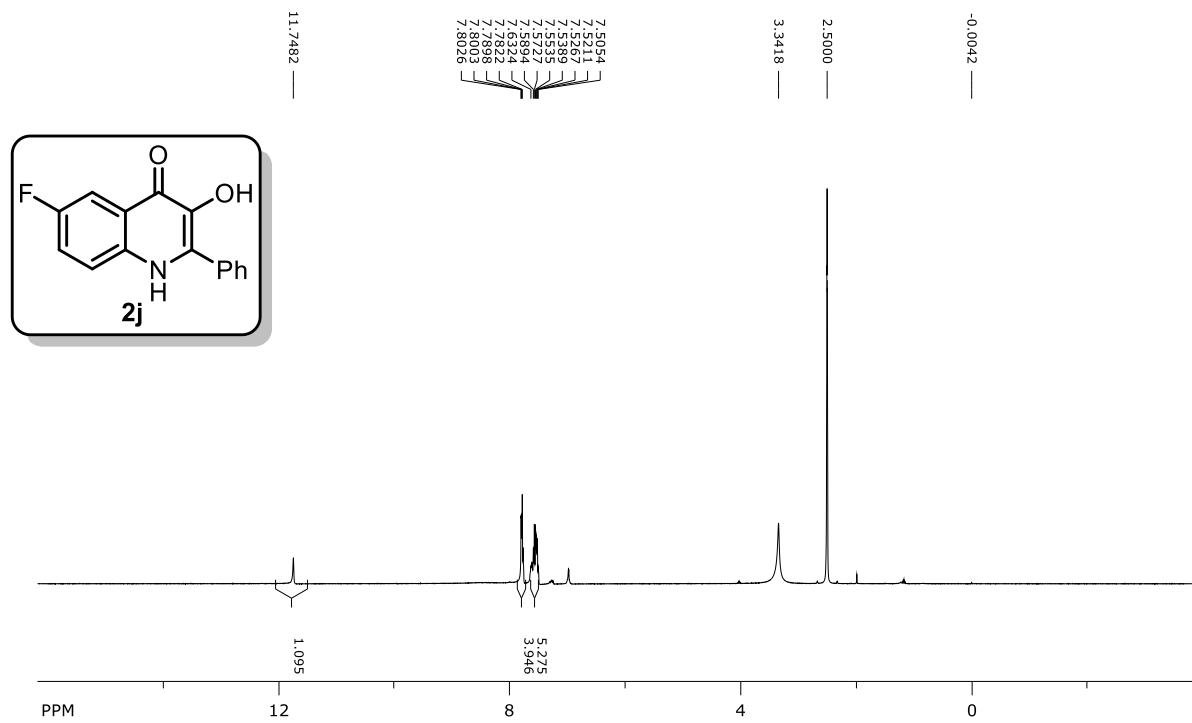
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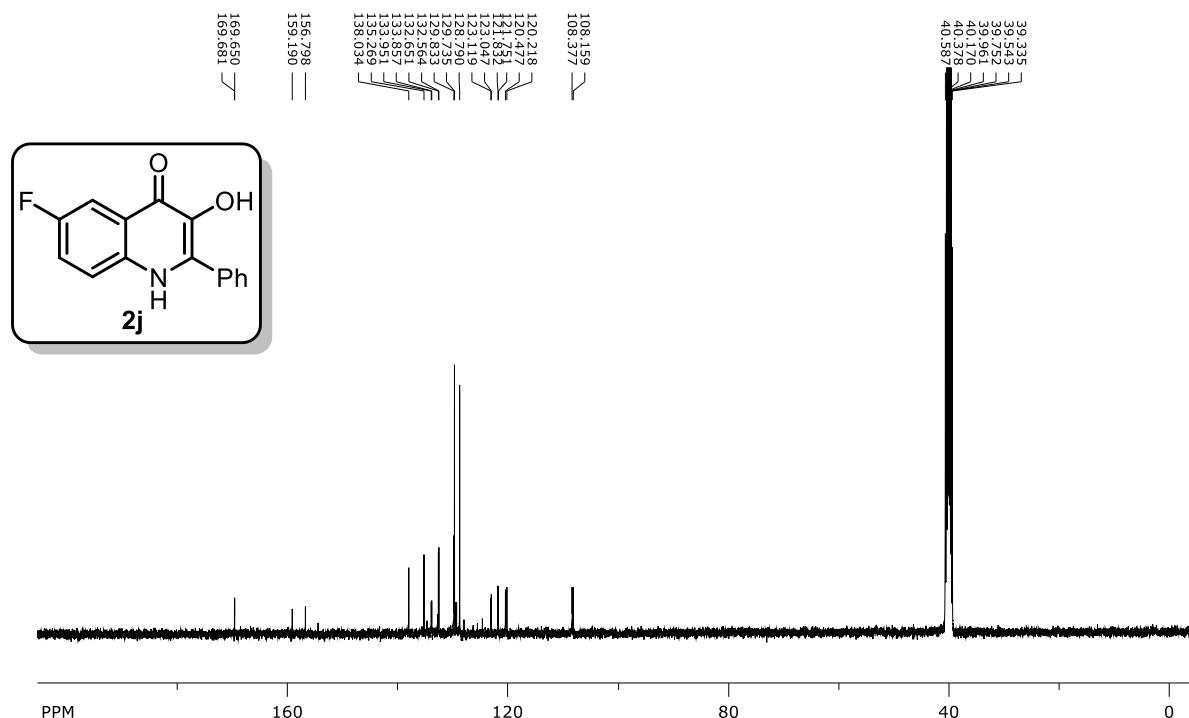
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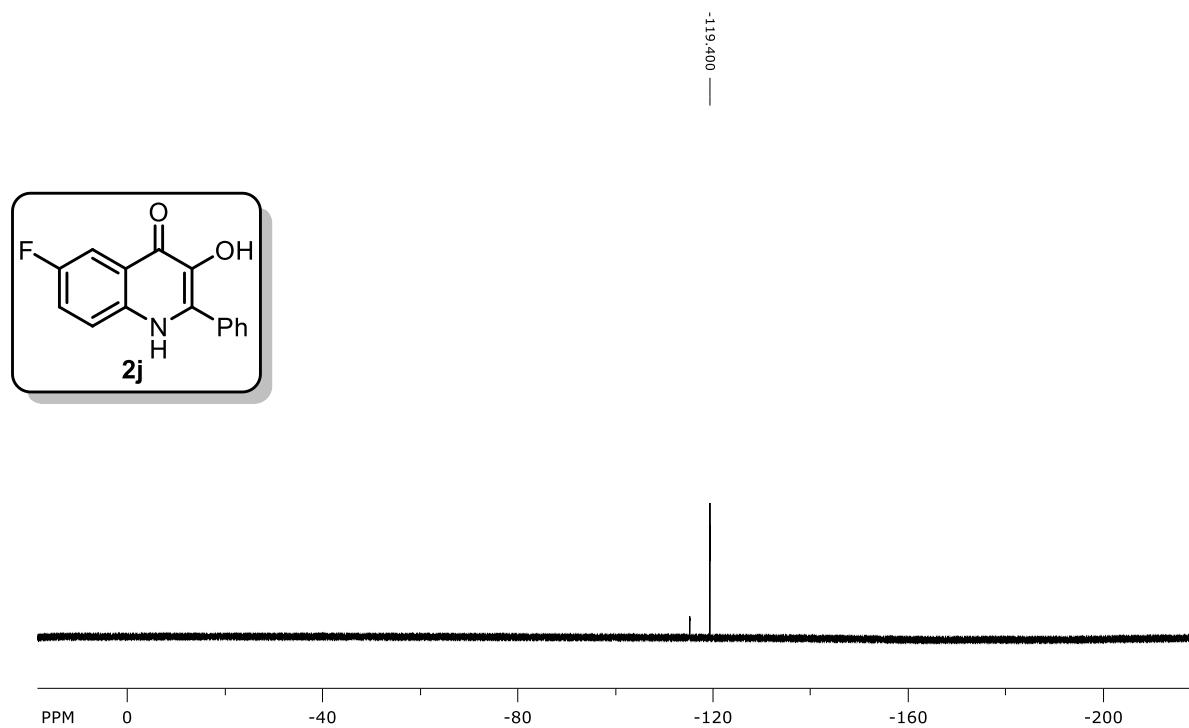
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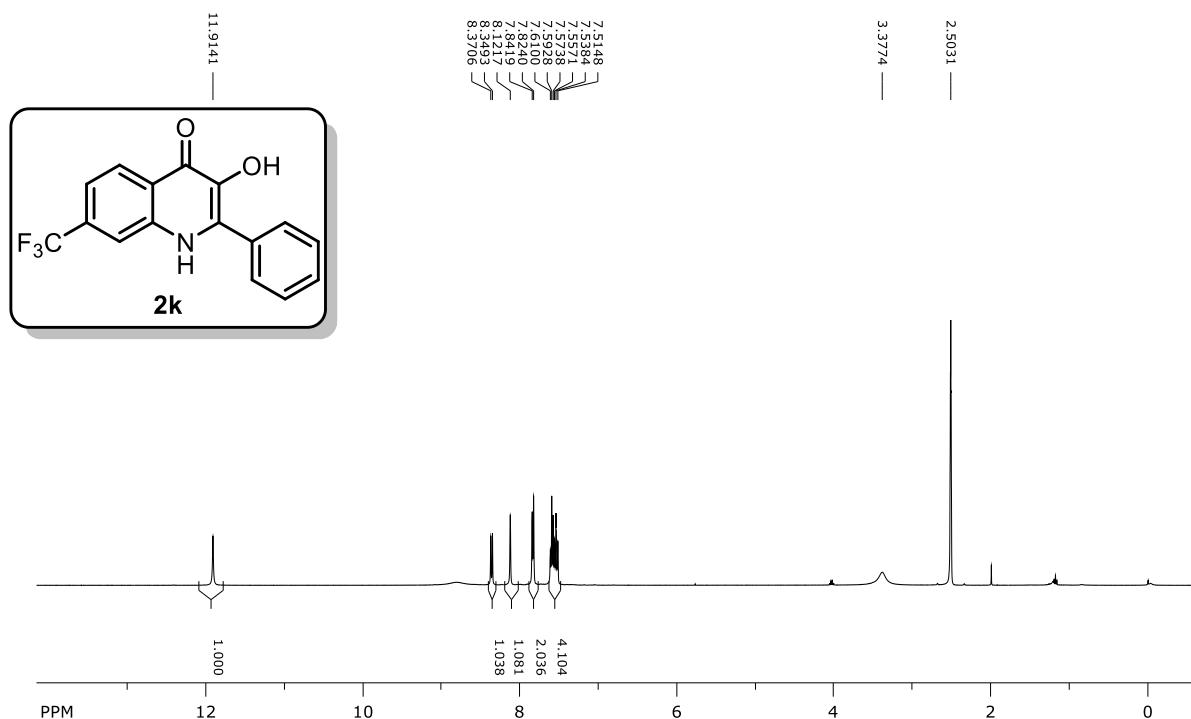
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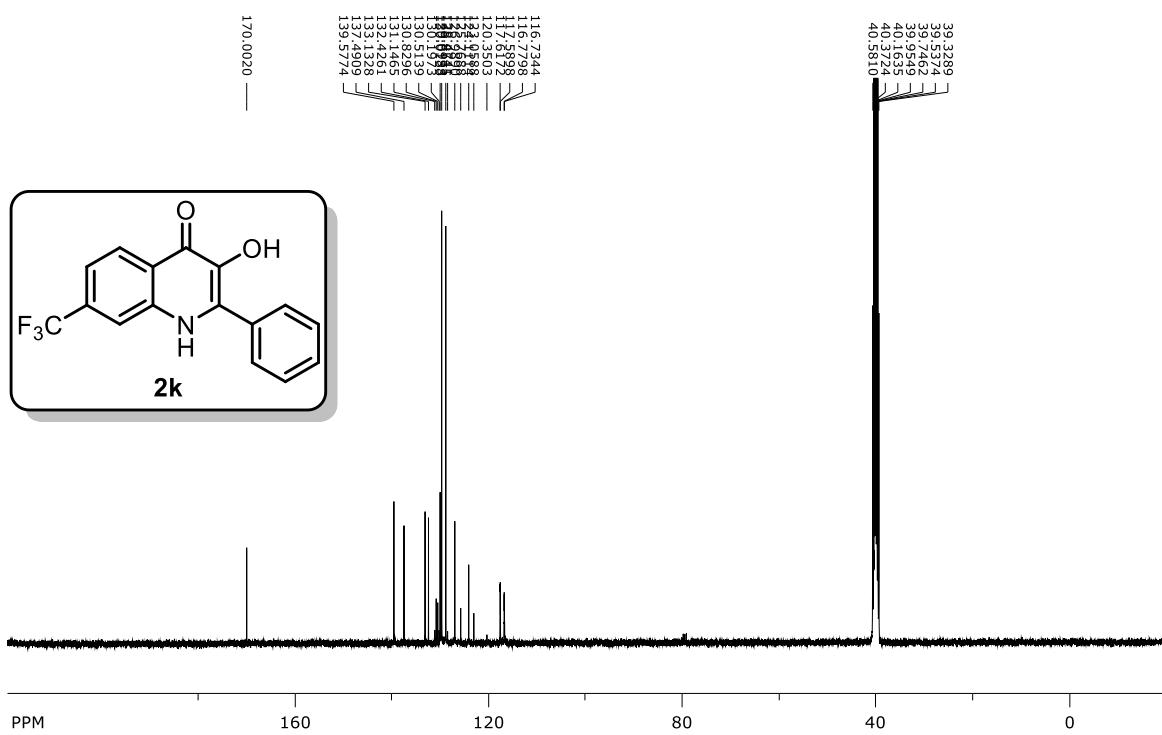
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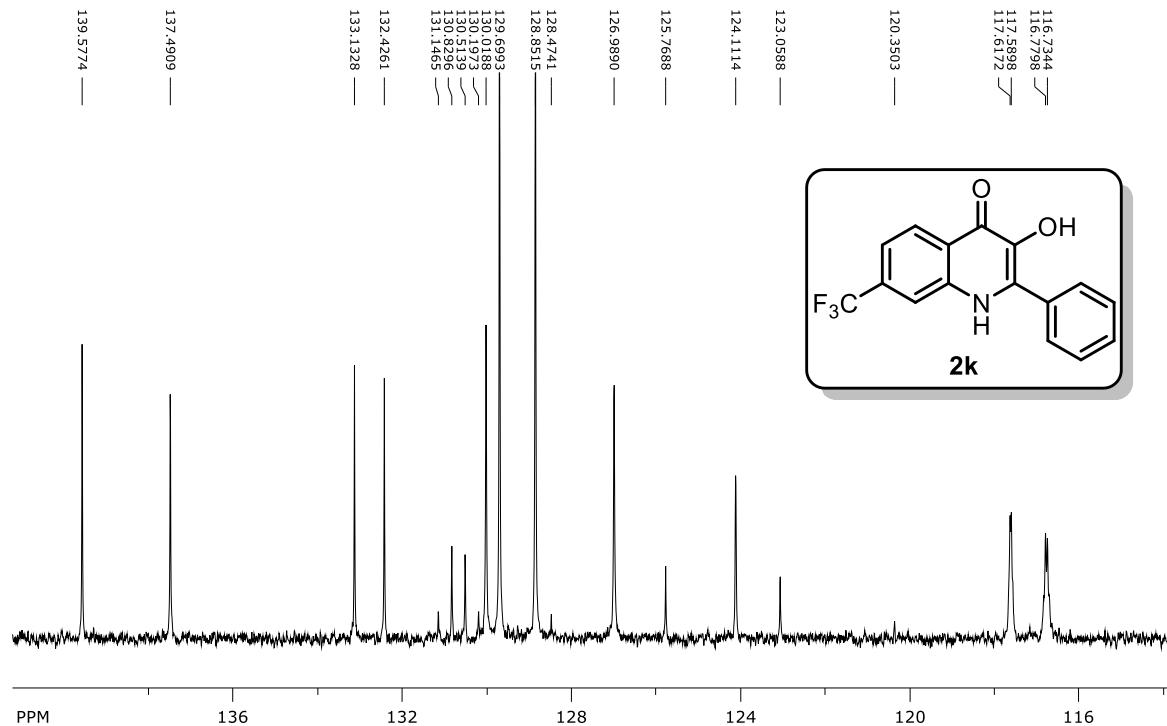
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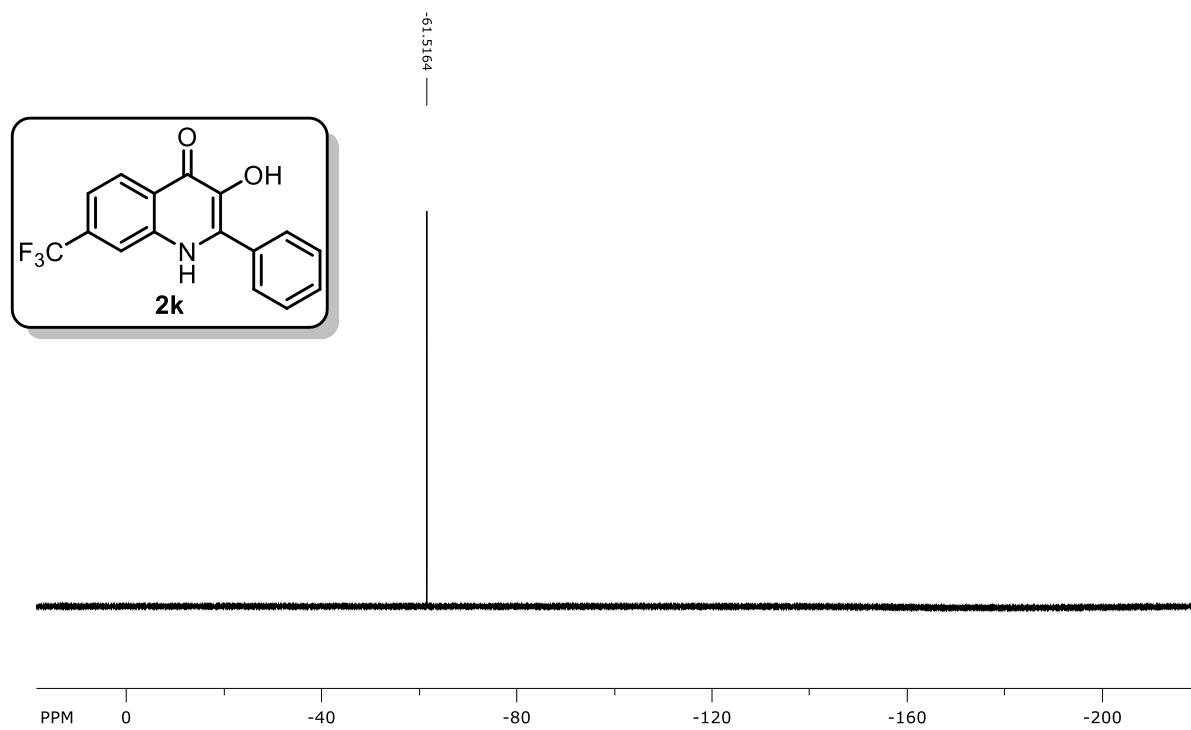
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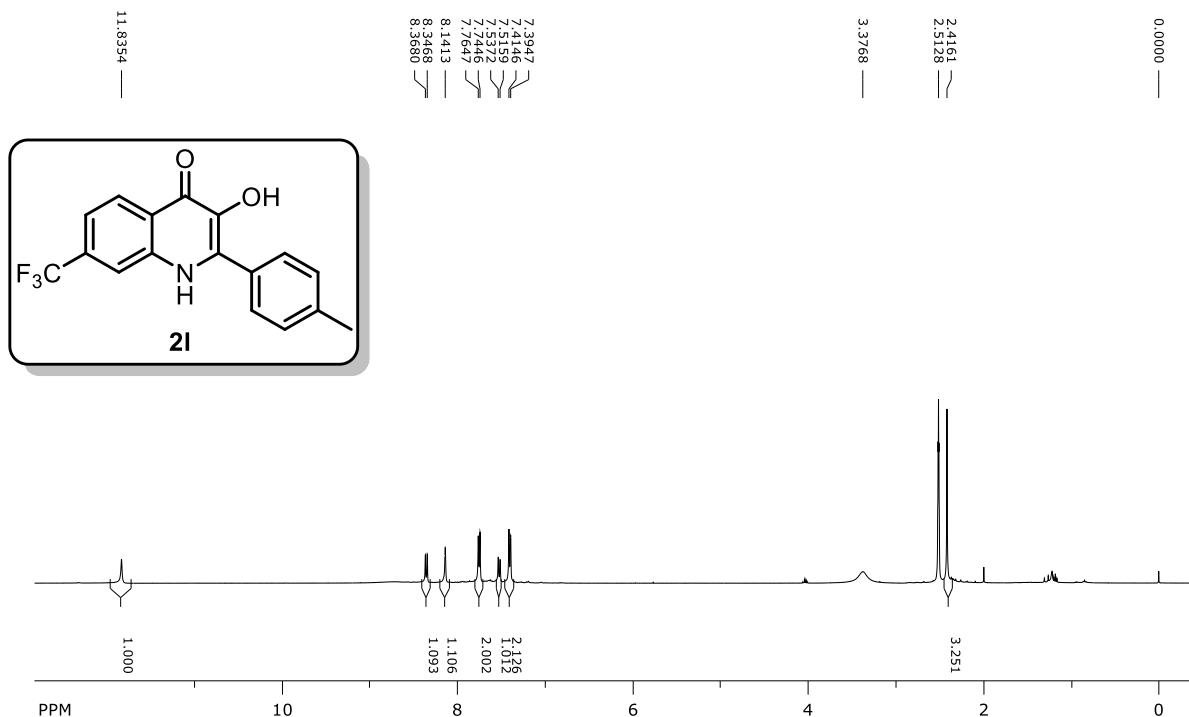
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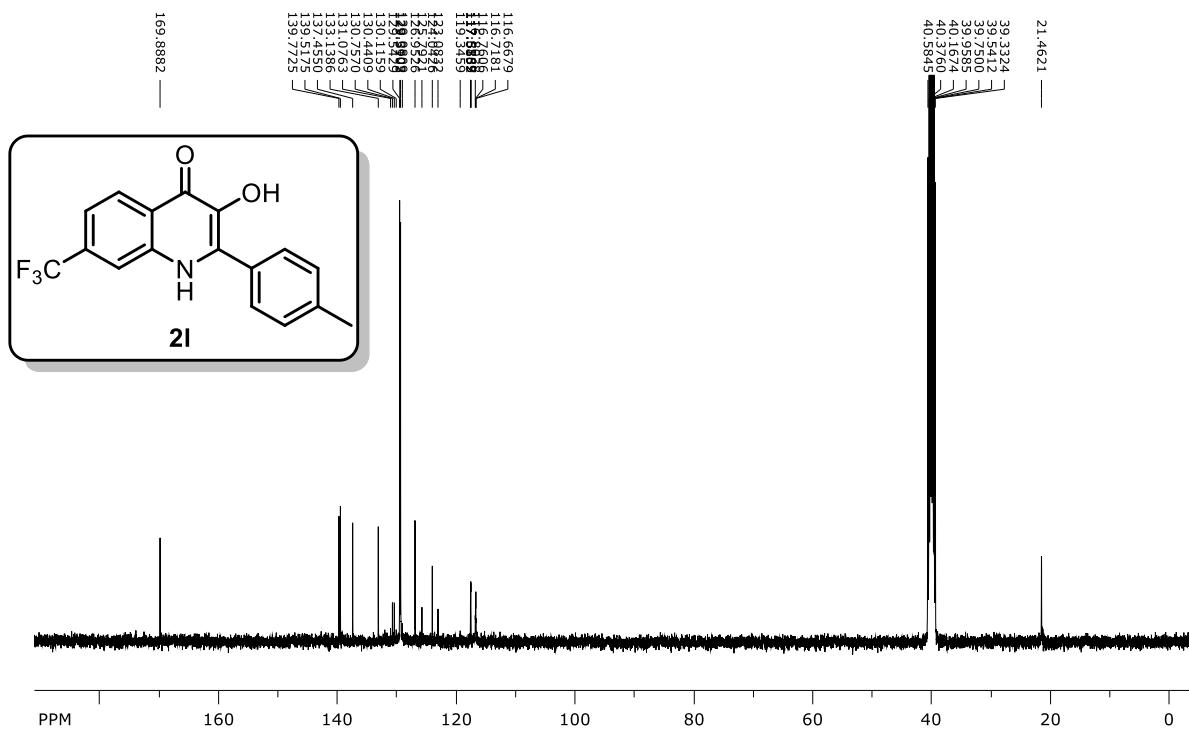
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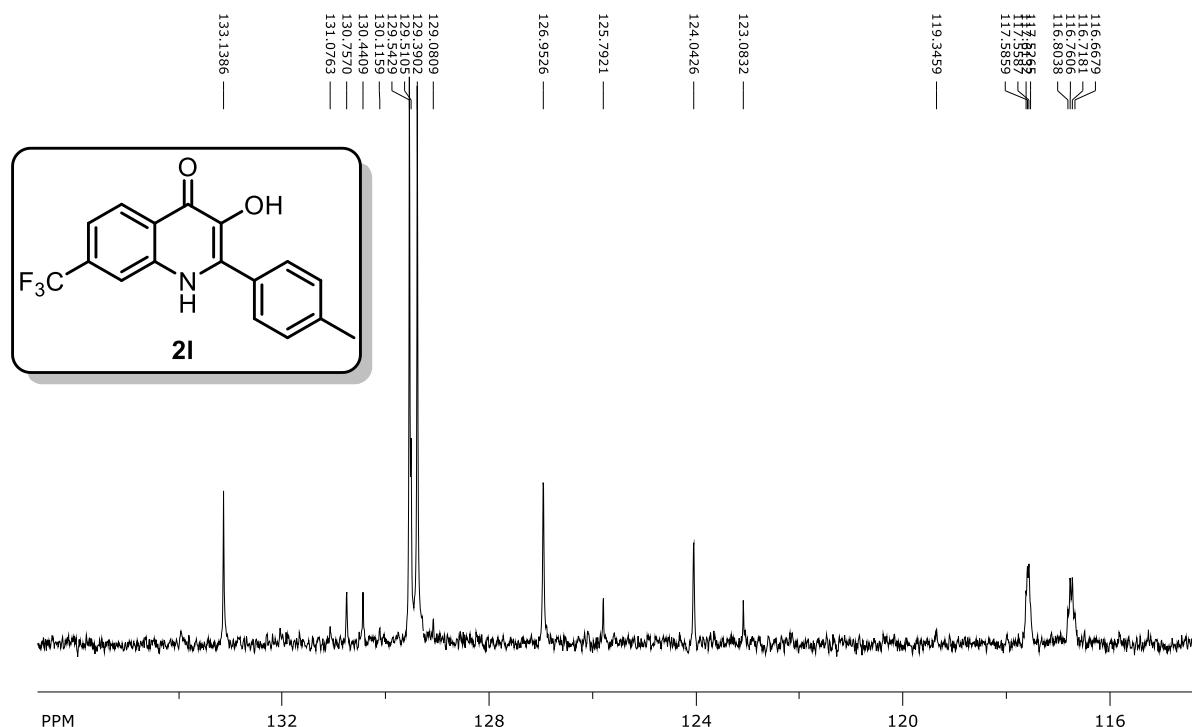
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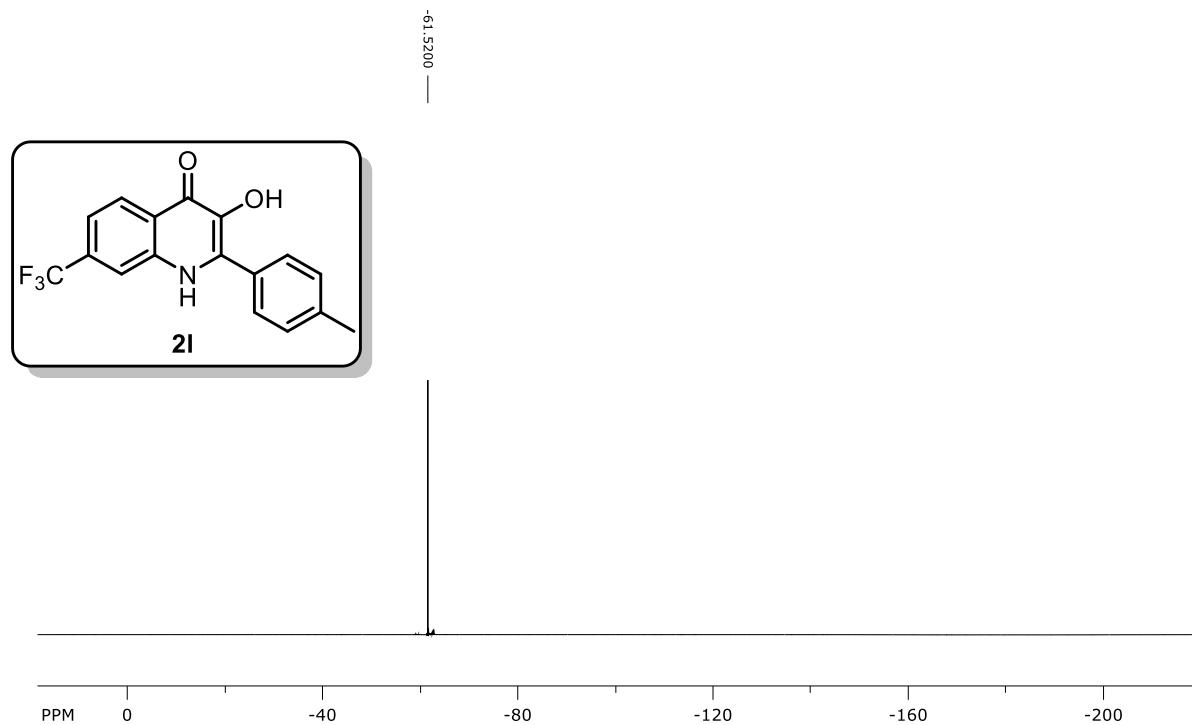
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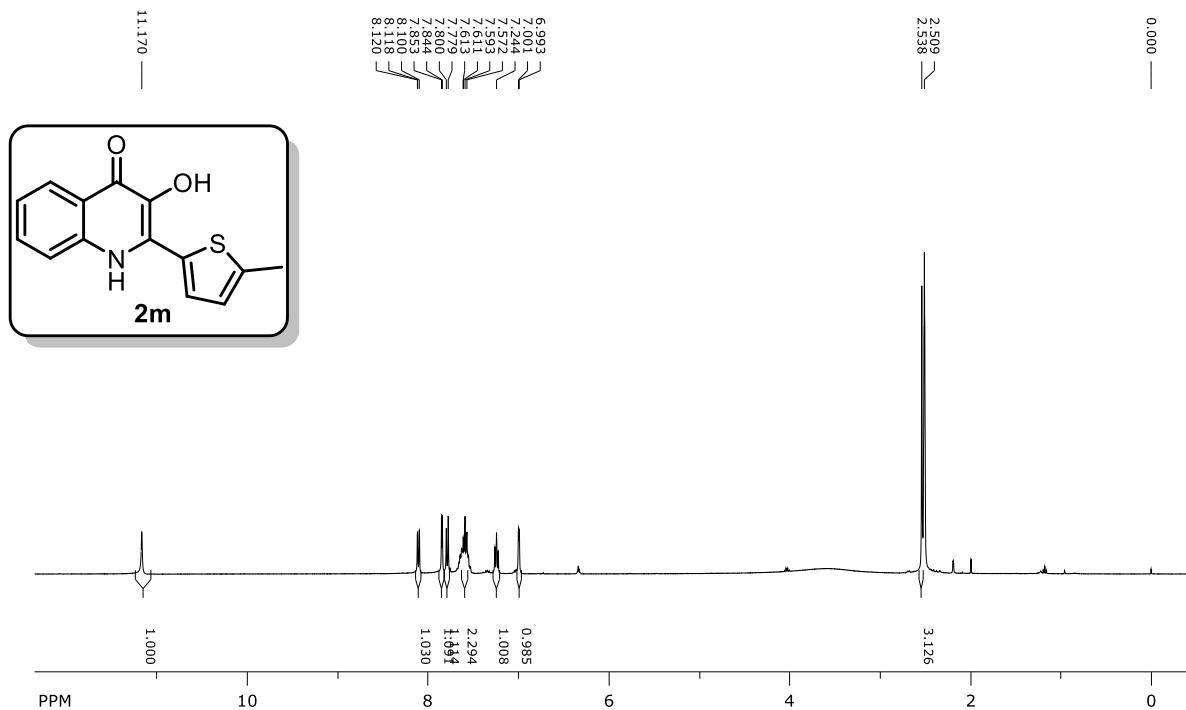
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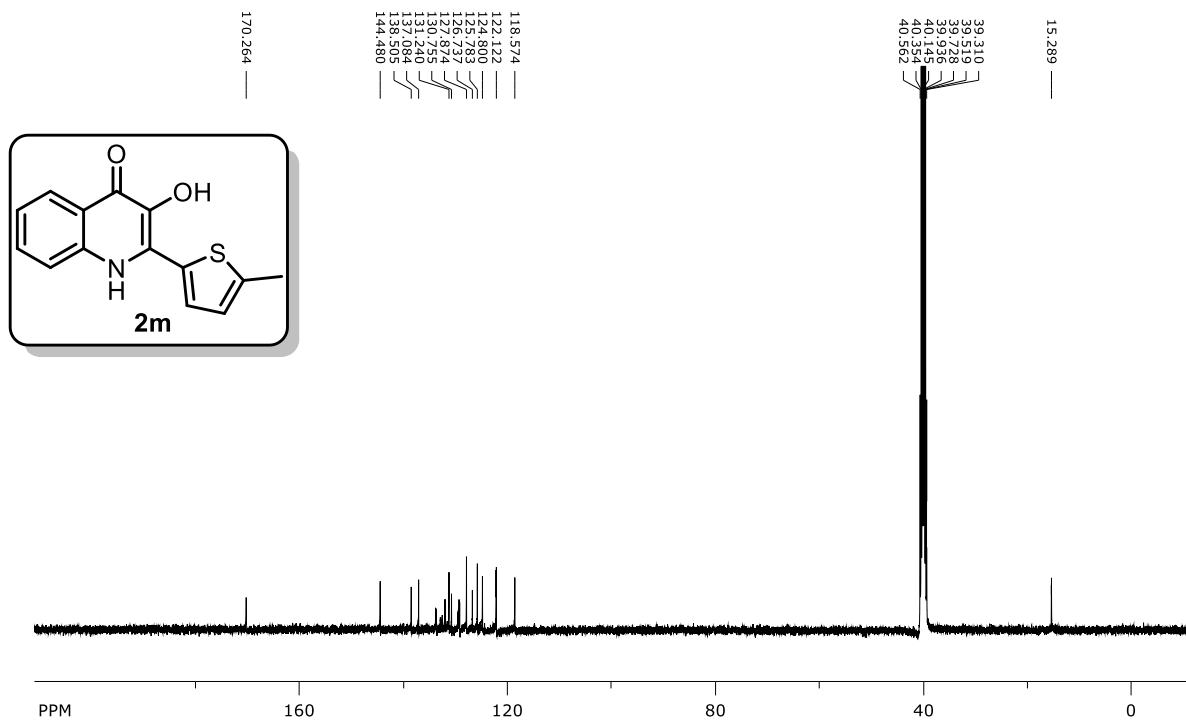
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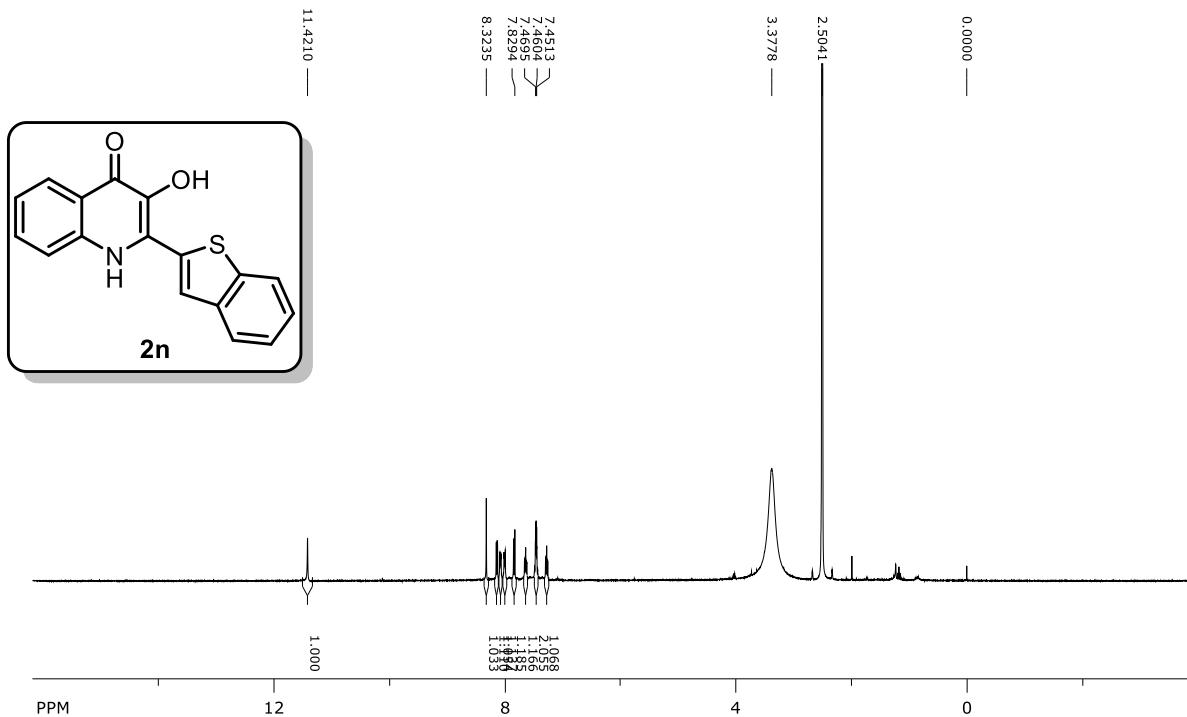
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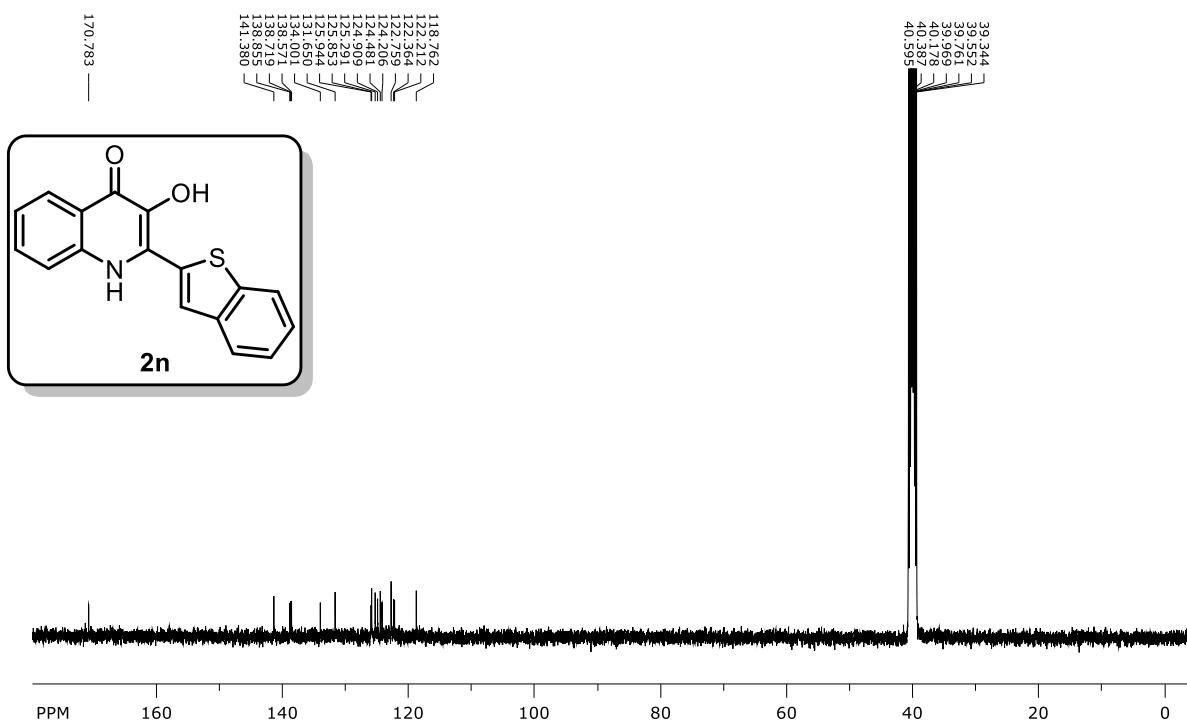
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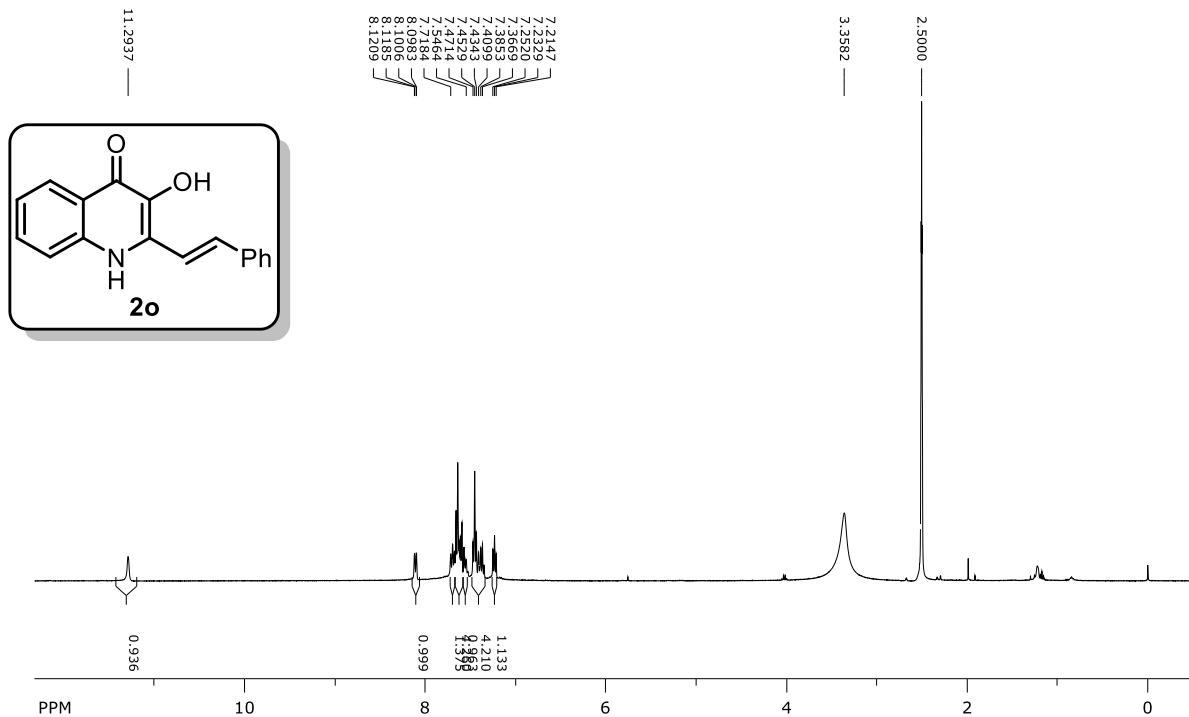
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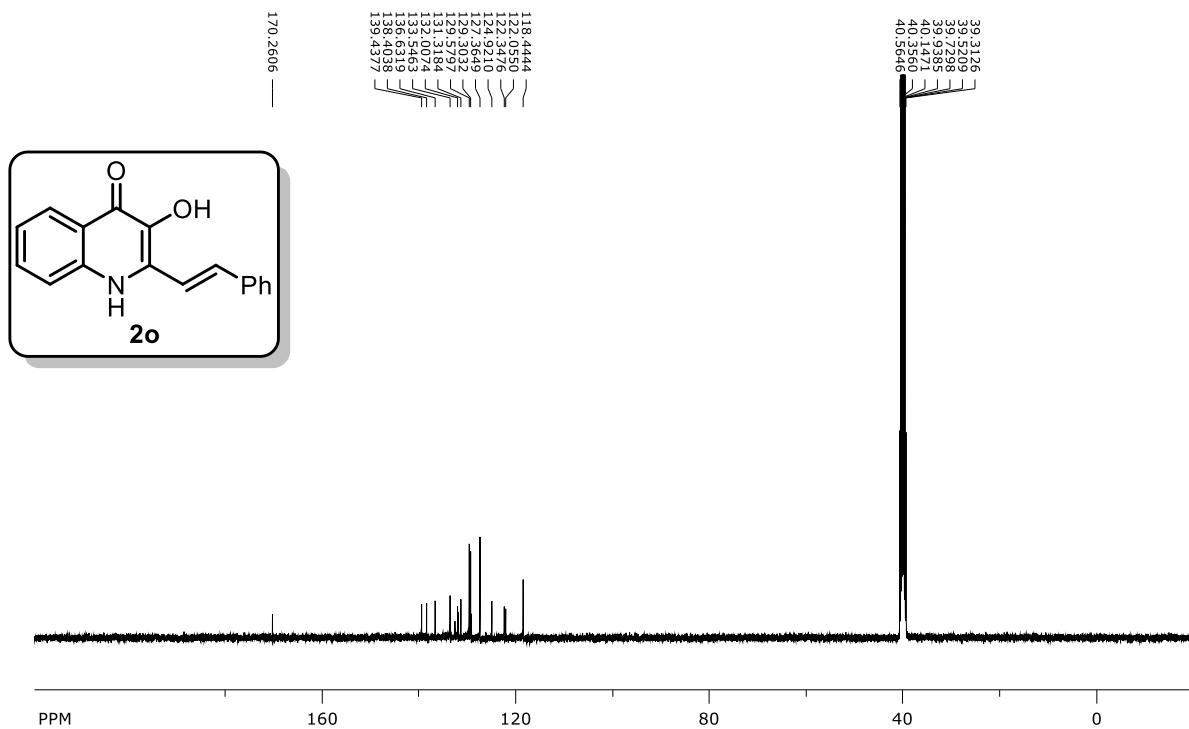
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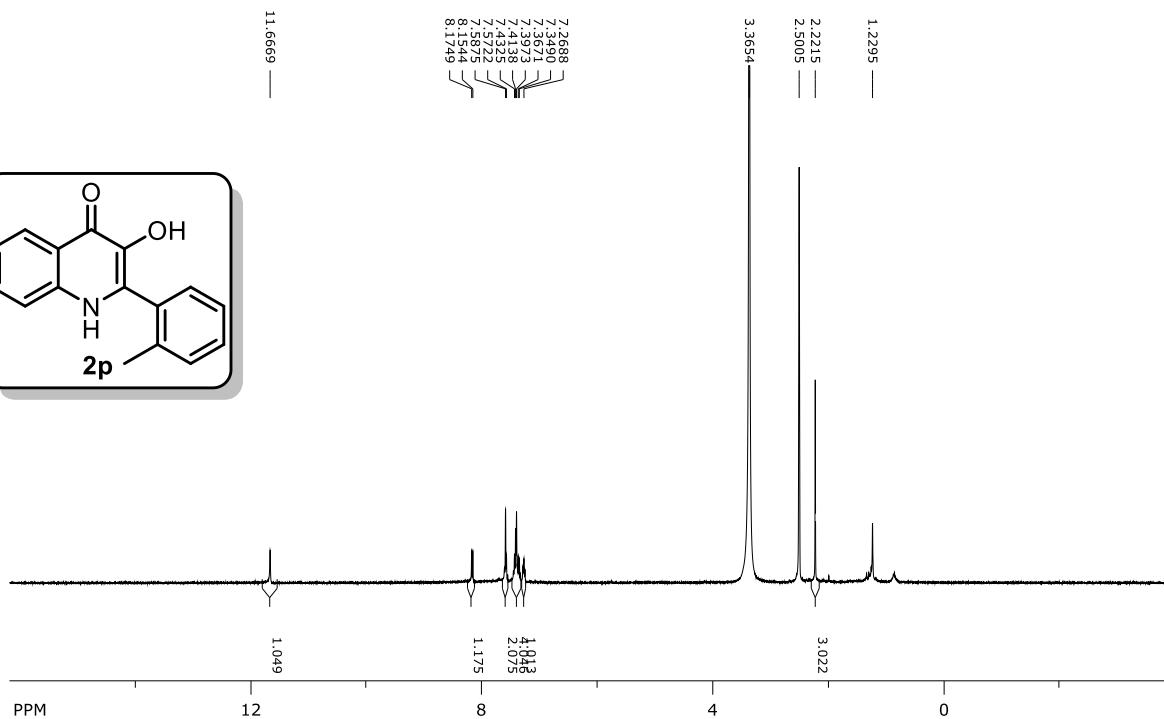
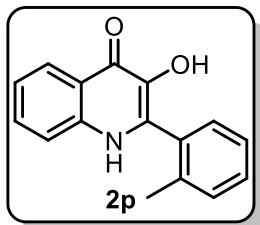
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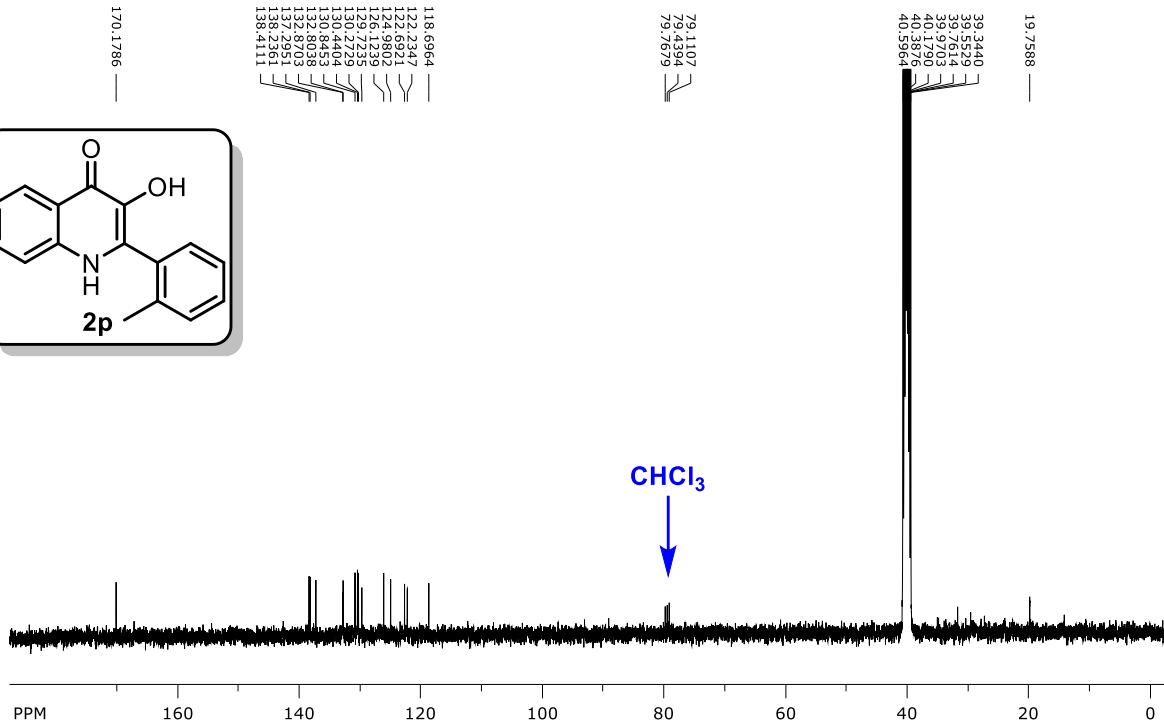
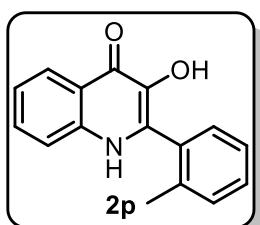
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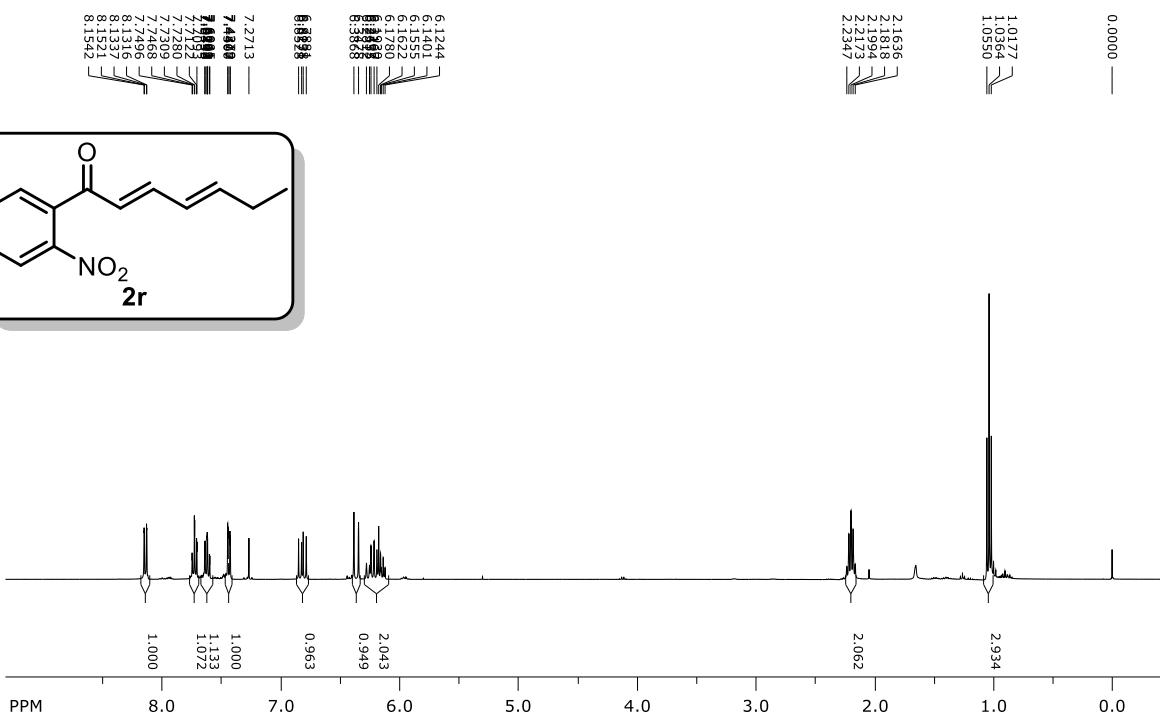
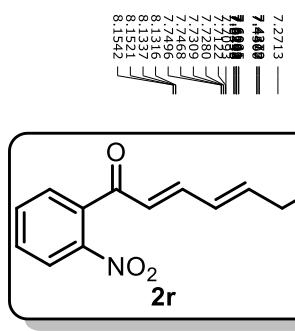
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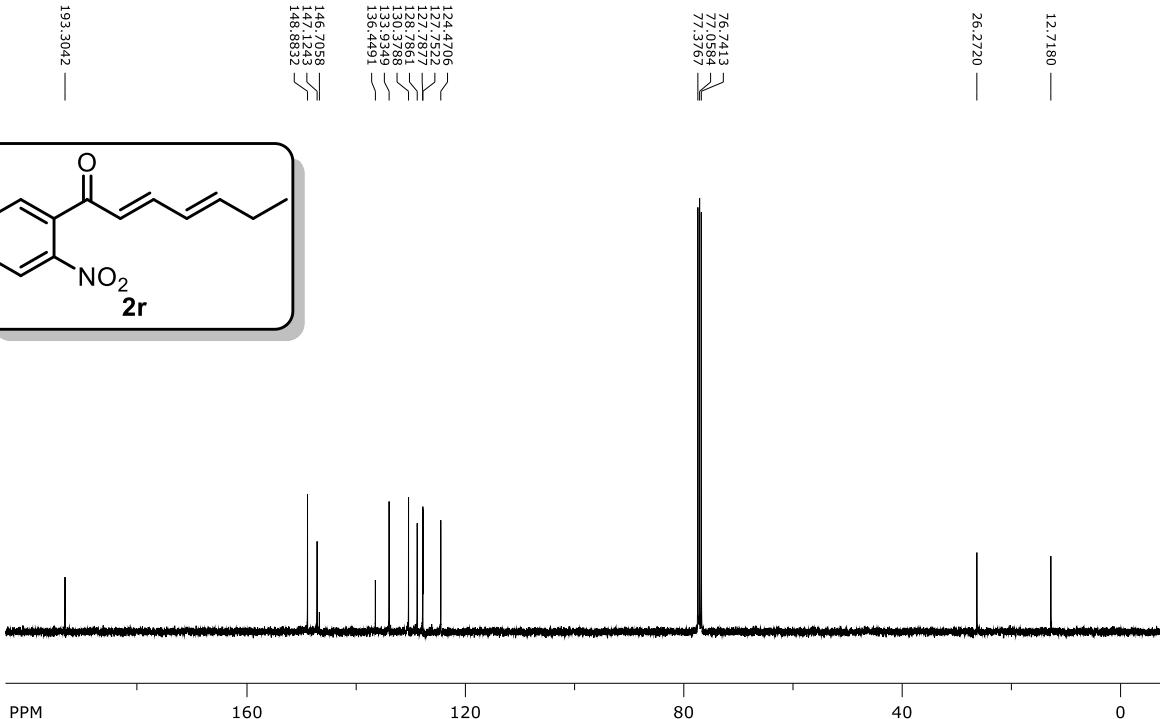
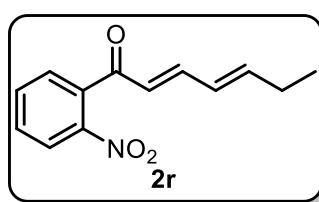
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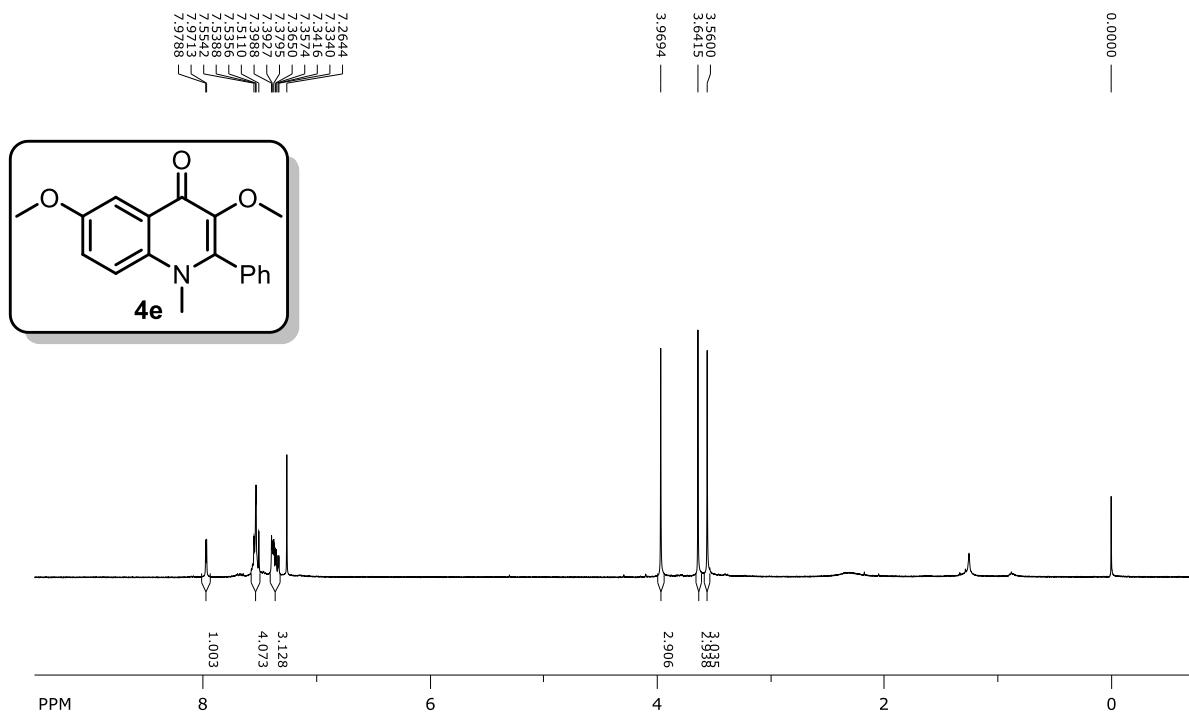
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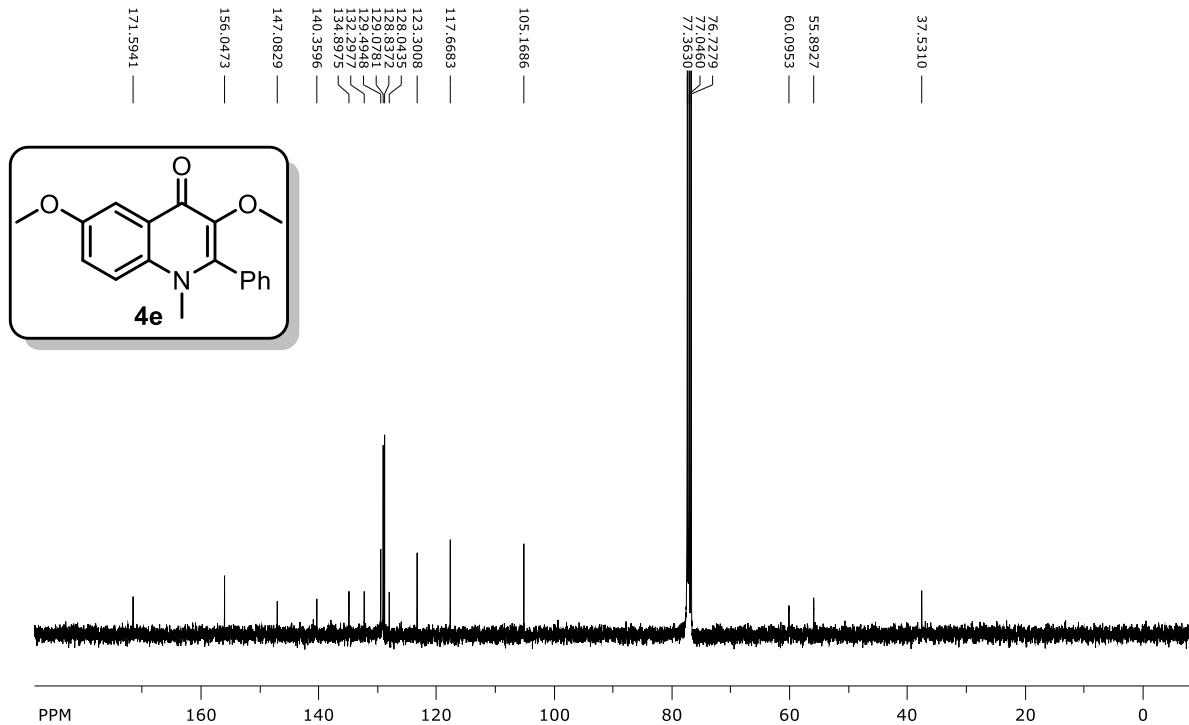
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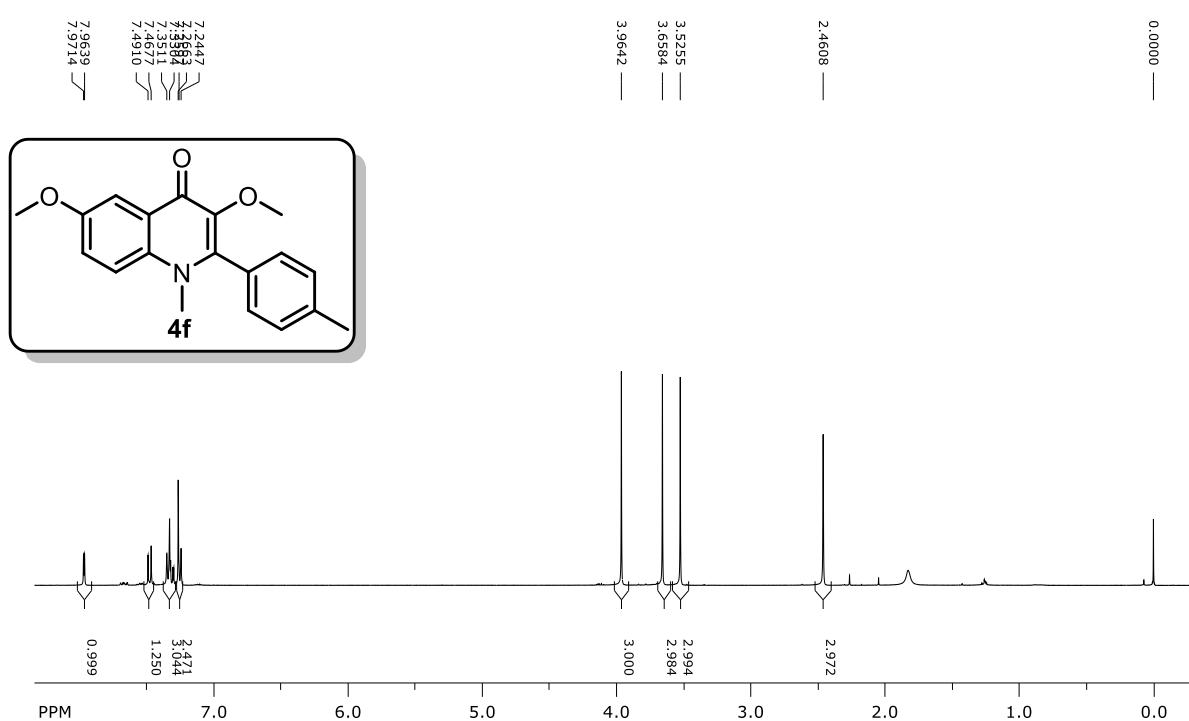
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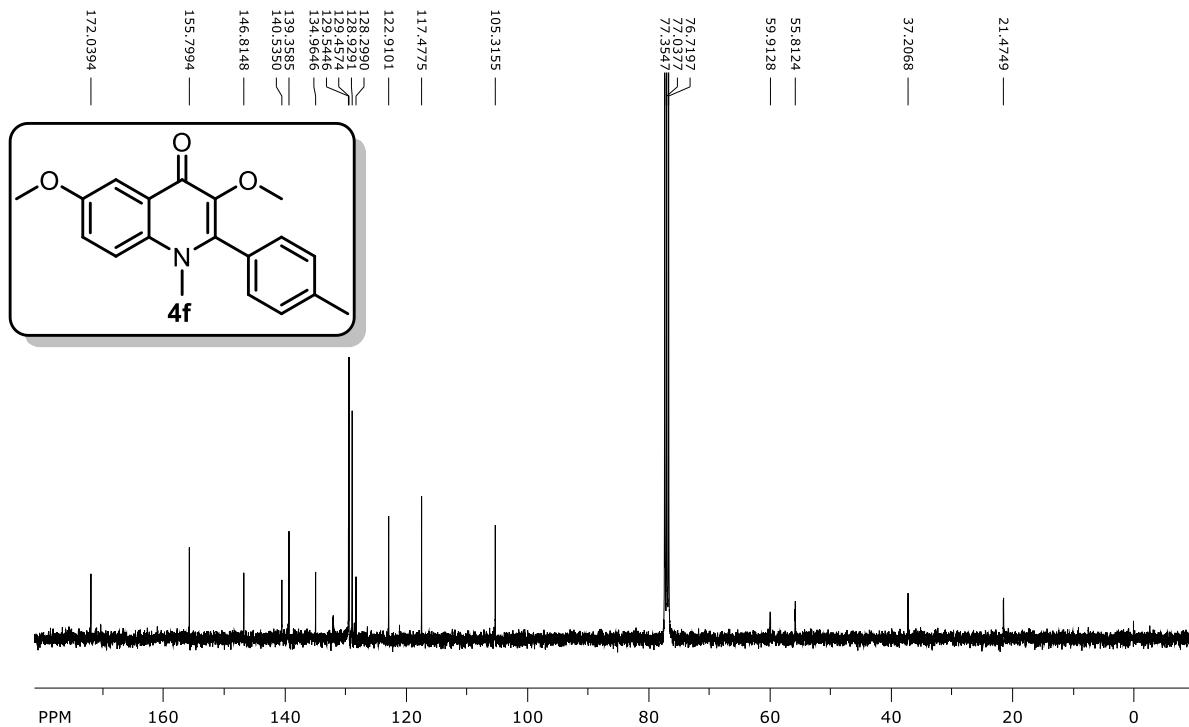
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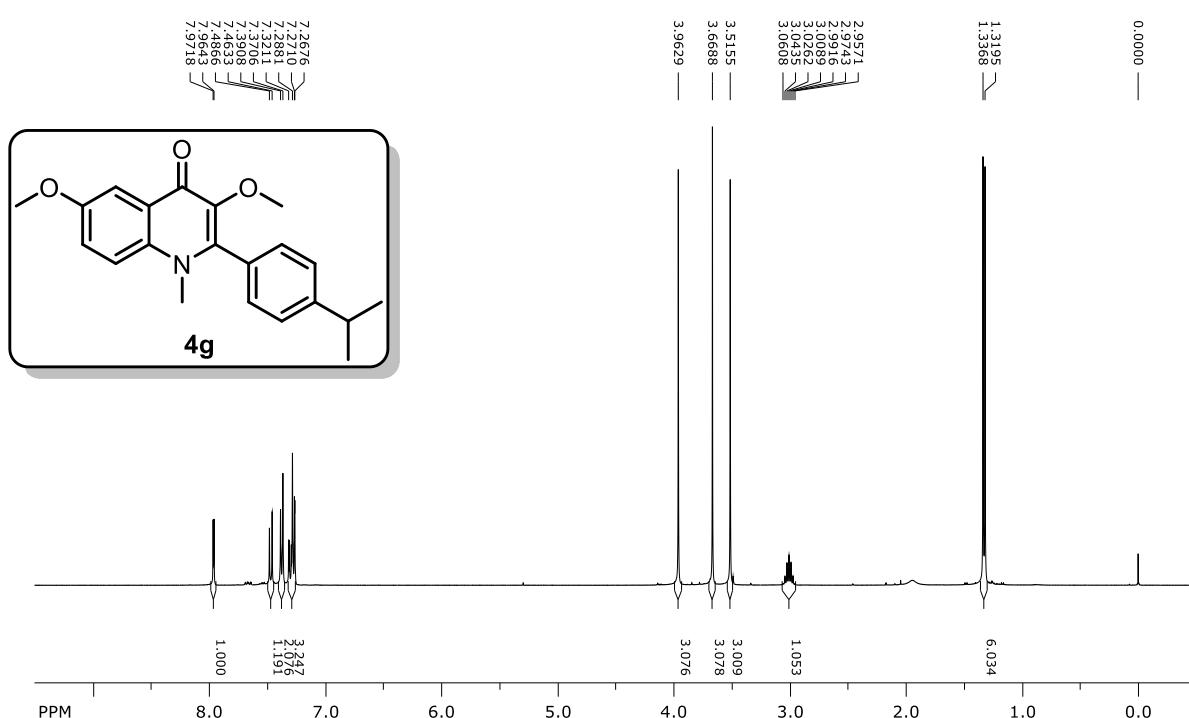
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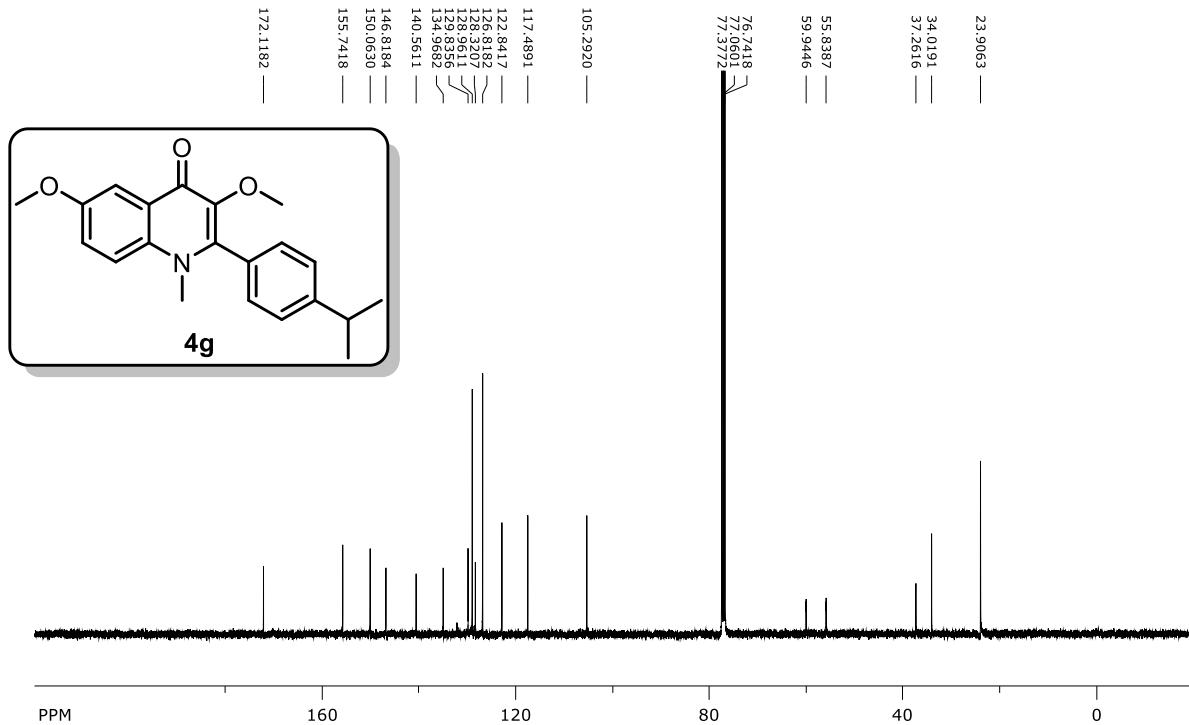
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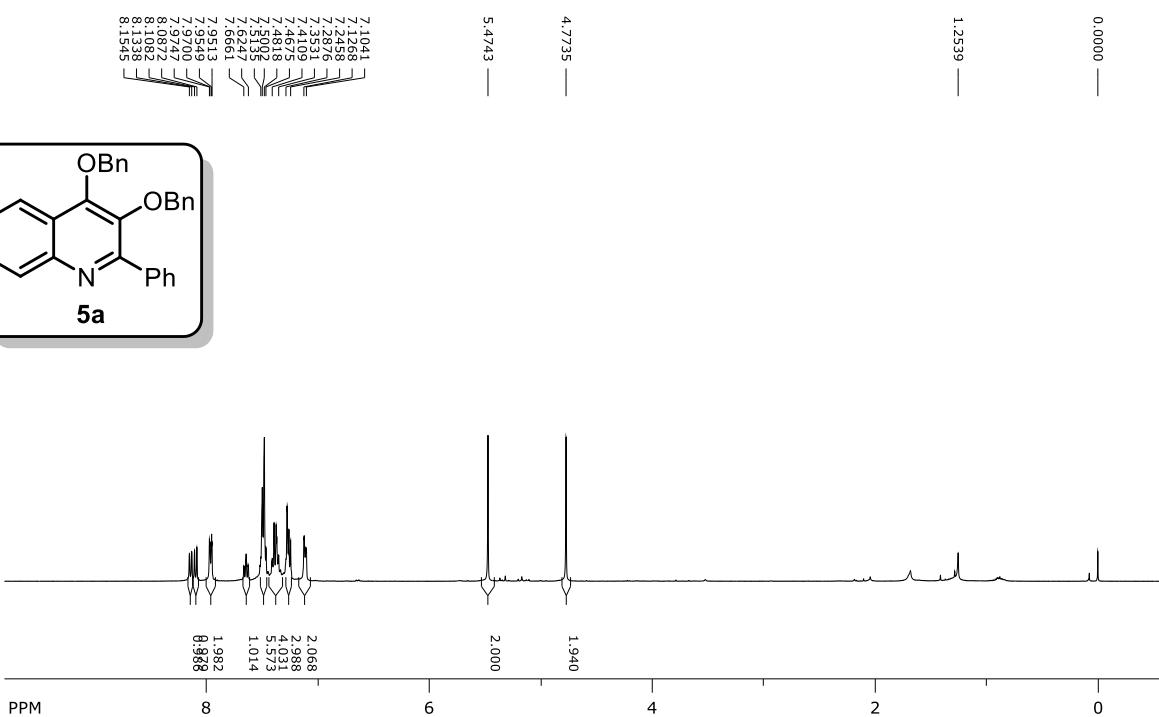
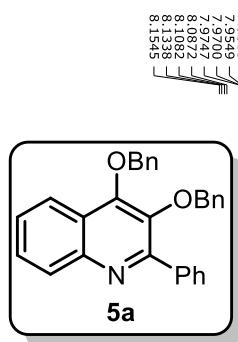
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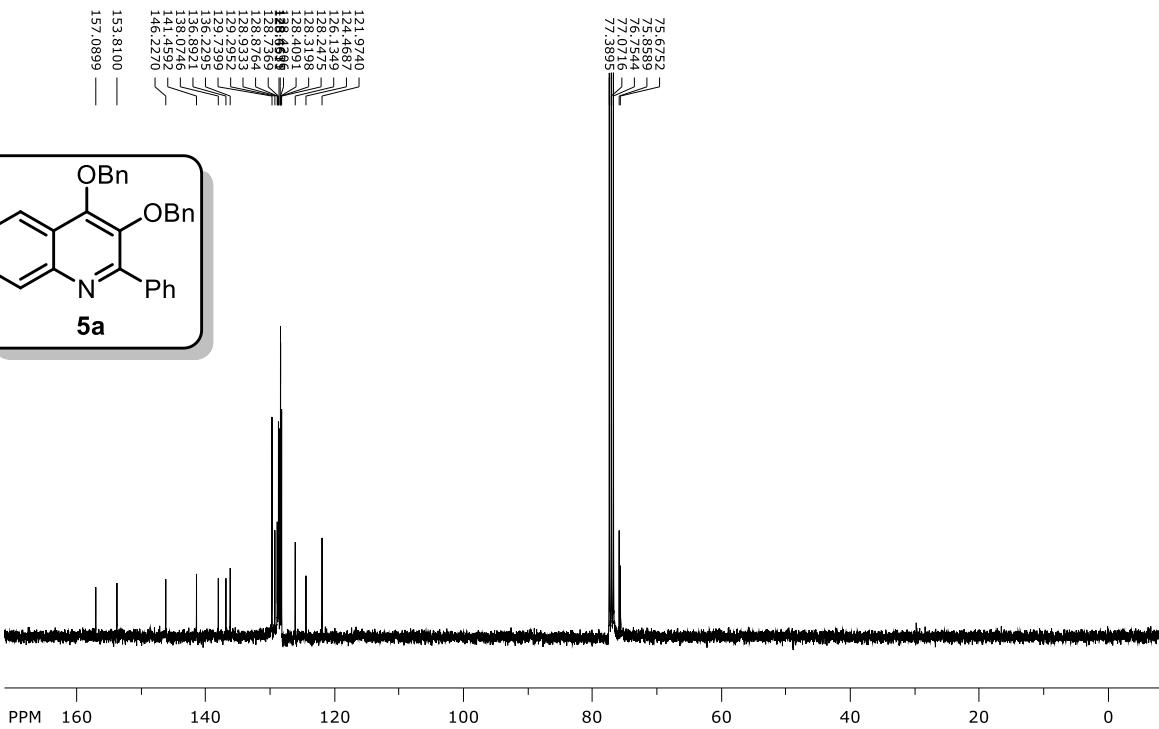
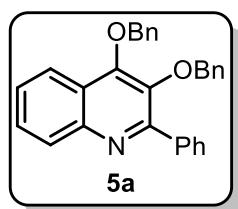
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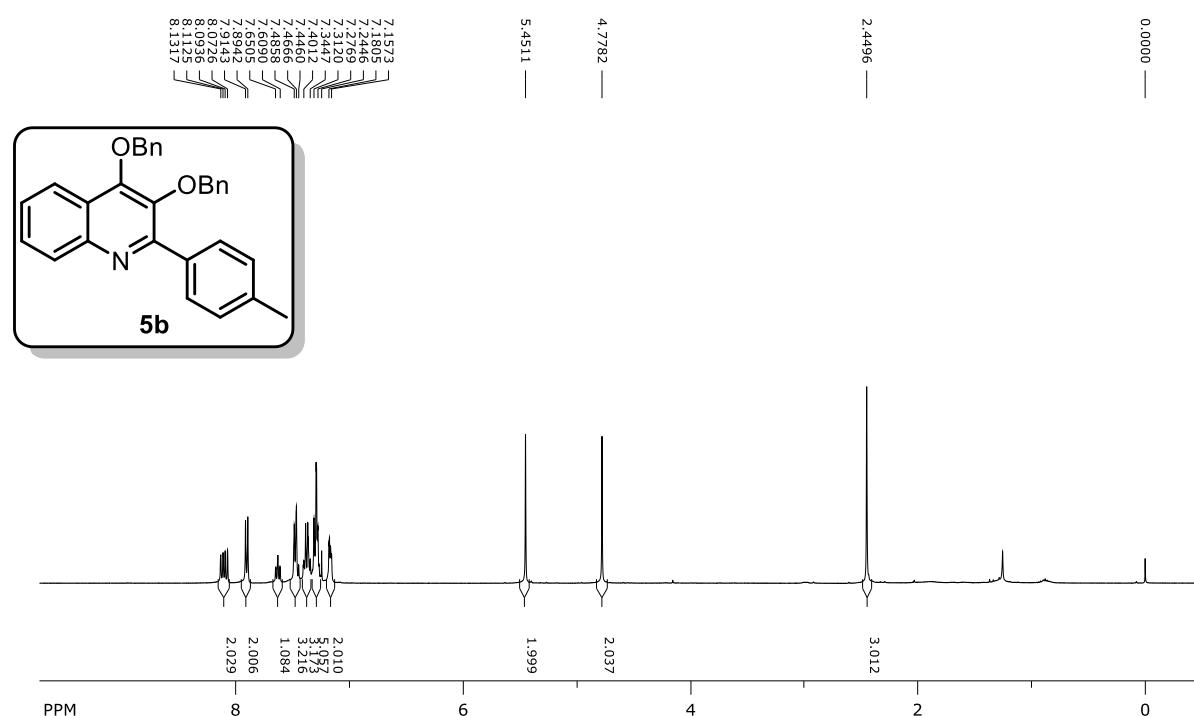
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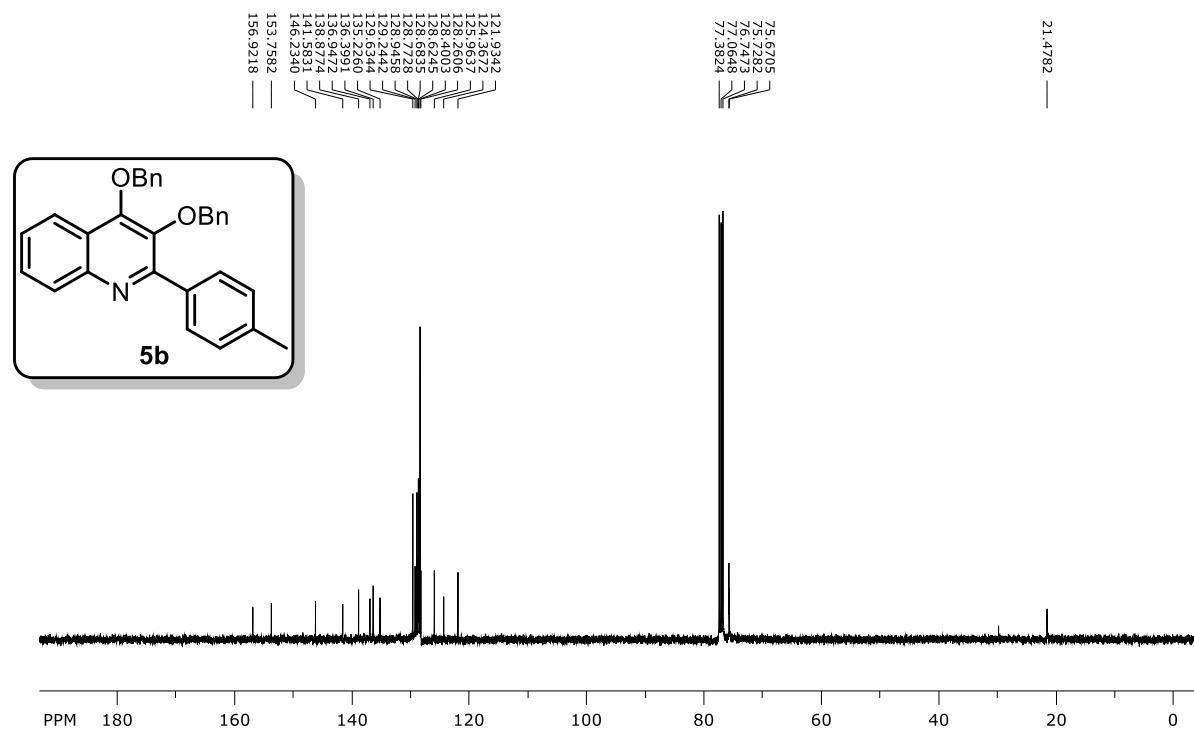
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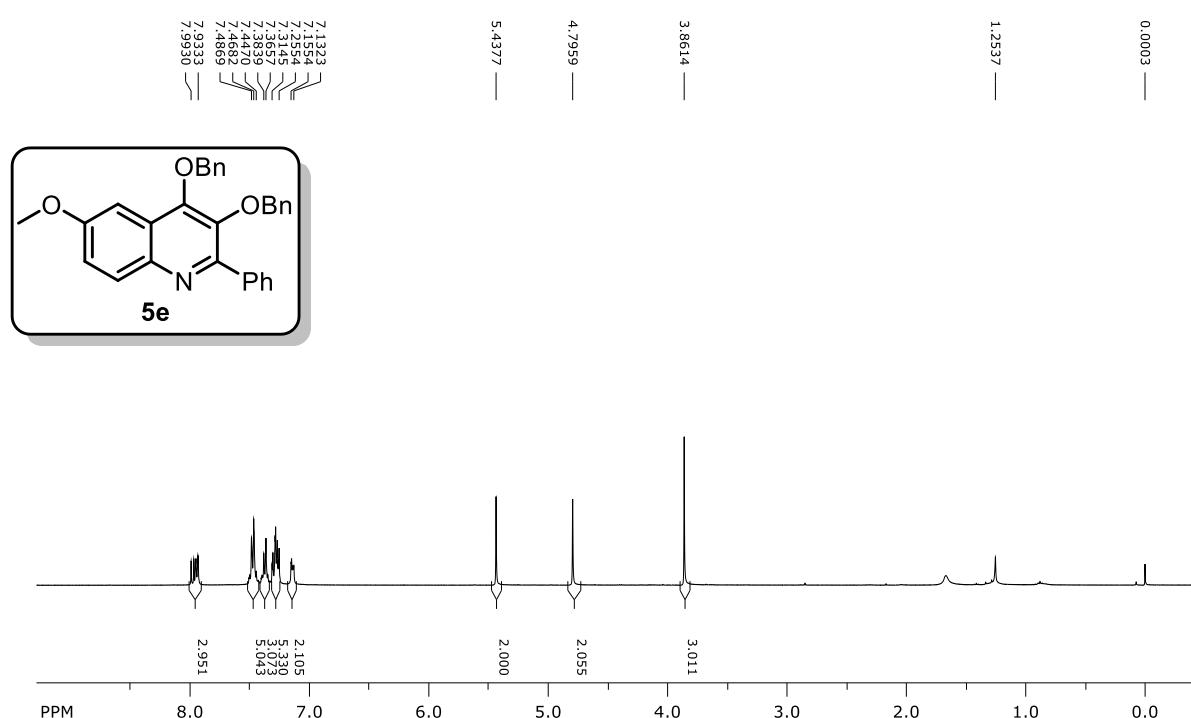
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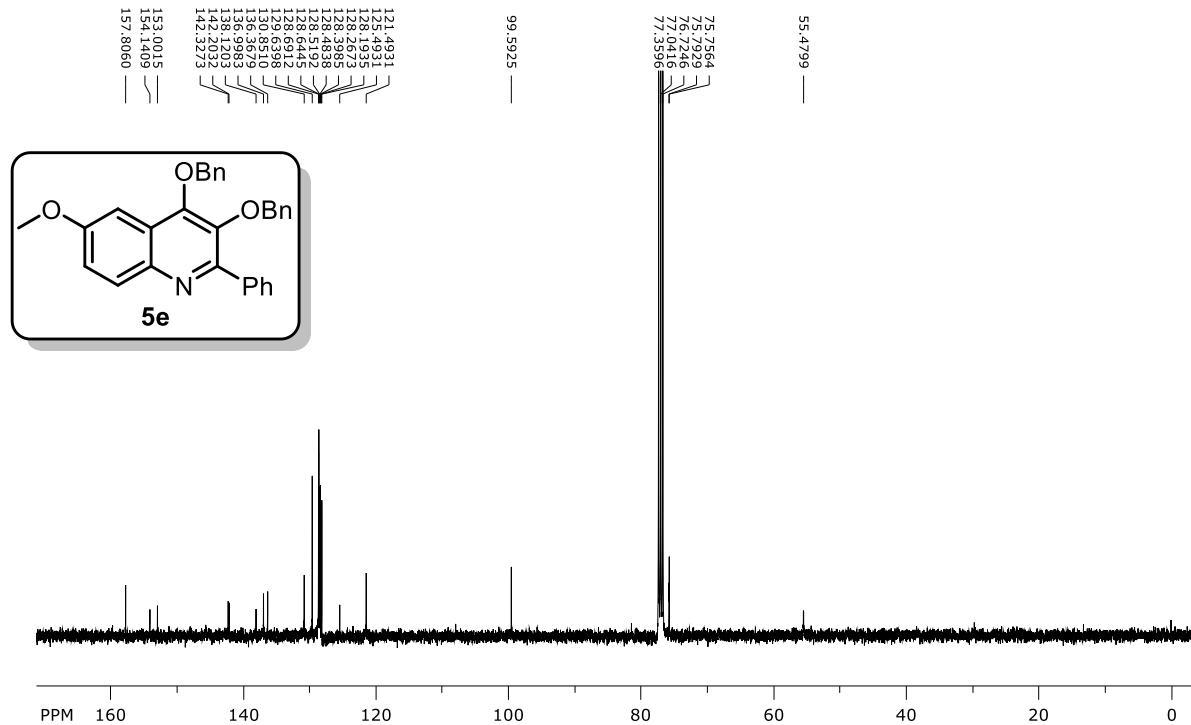
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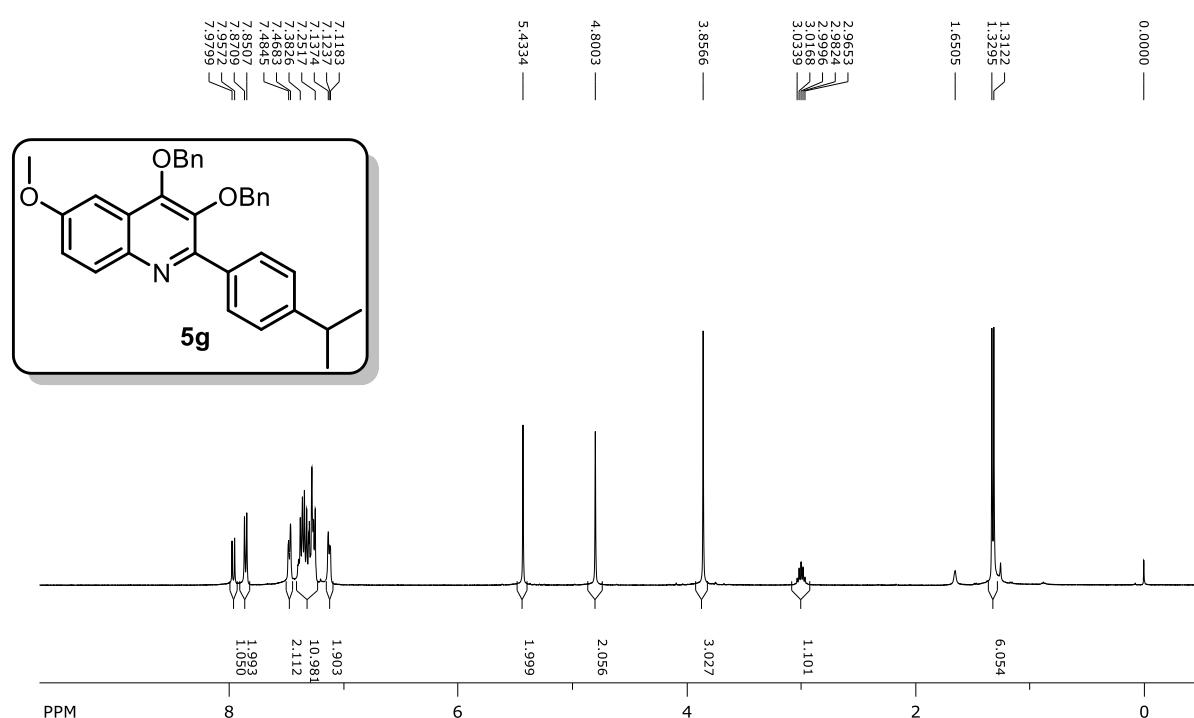
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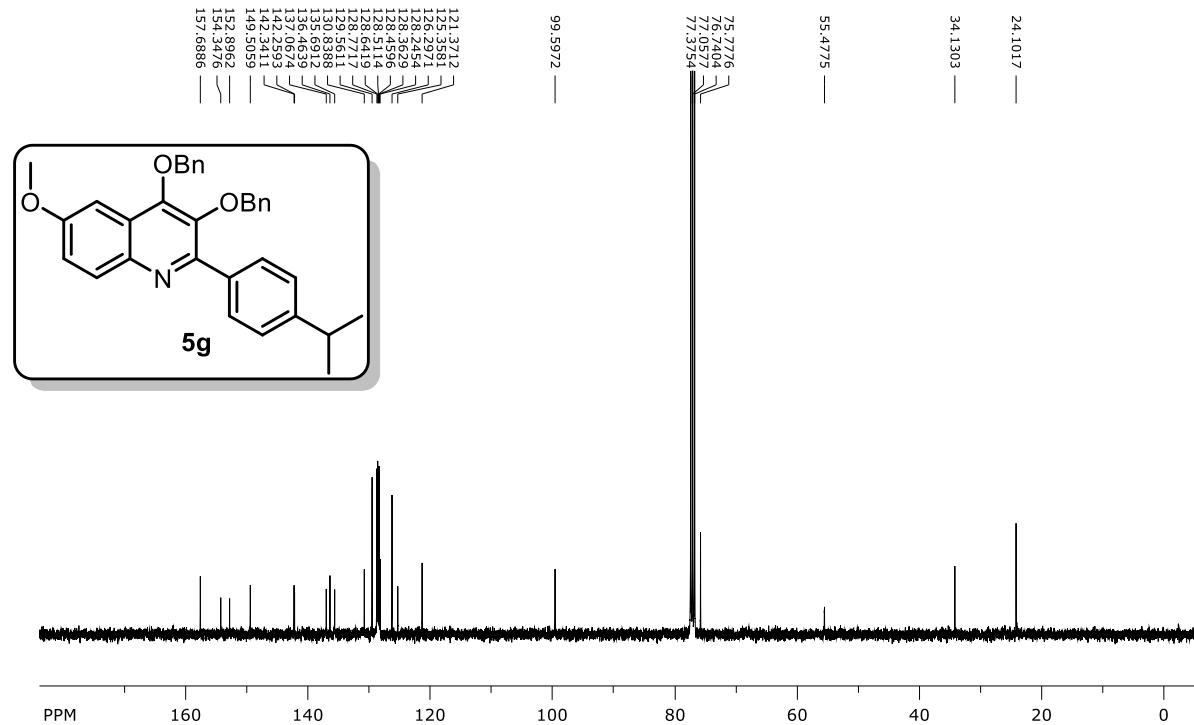
¹³C NMR (100 MHz, CDCl₃):



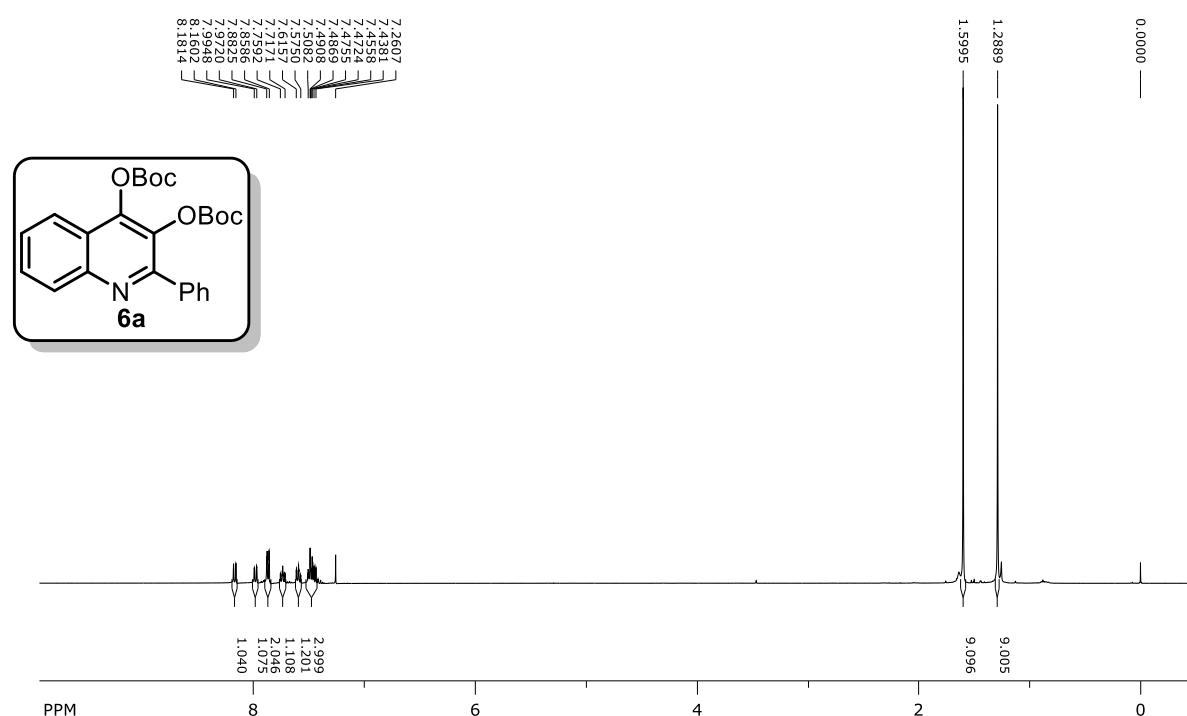
¹H NMR (400 MHz, CDCl₃):



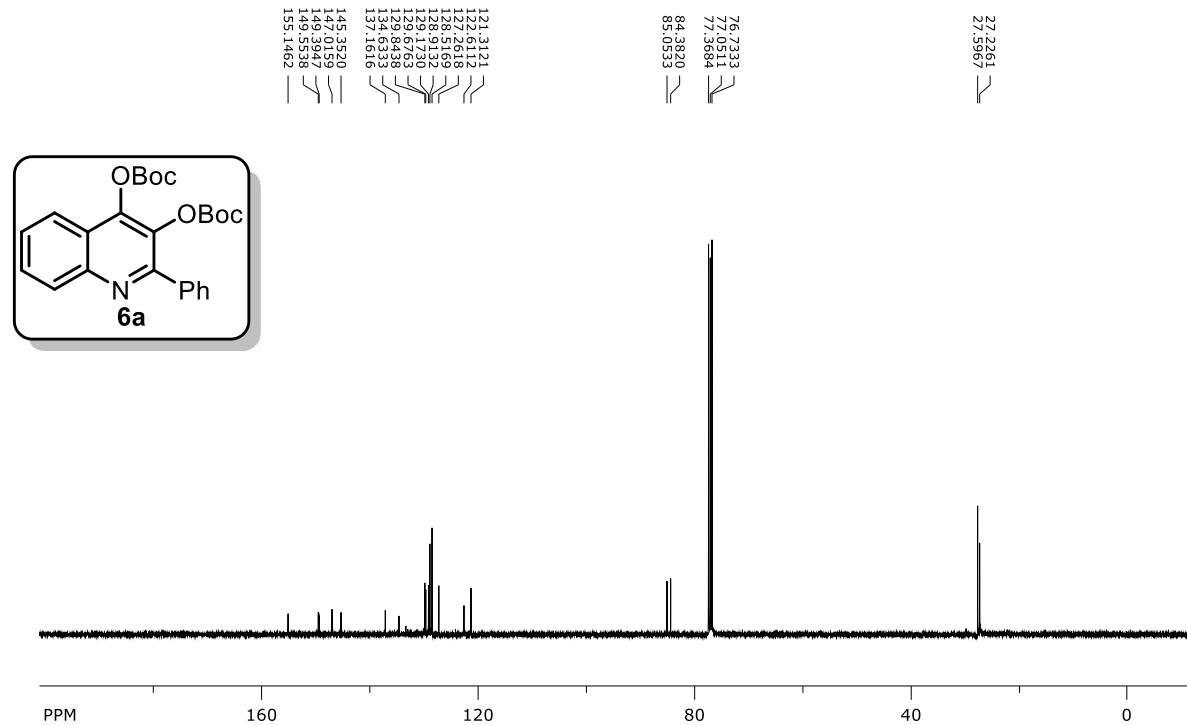
¹³C NMR (100 MHz, CDCl₃):



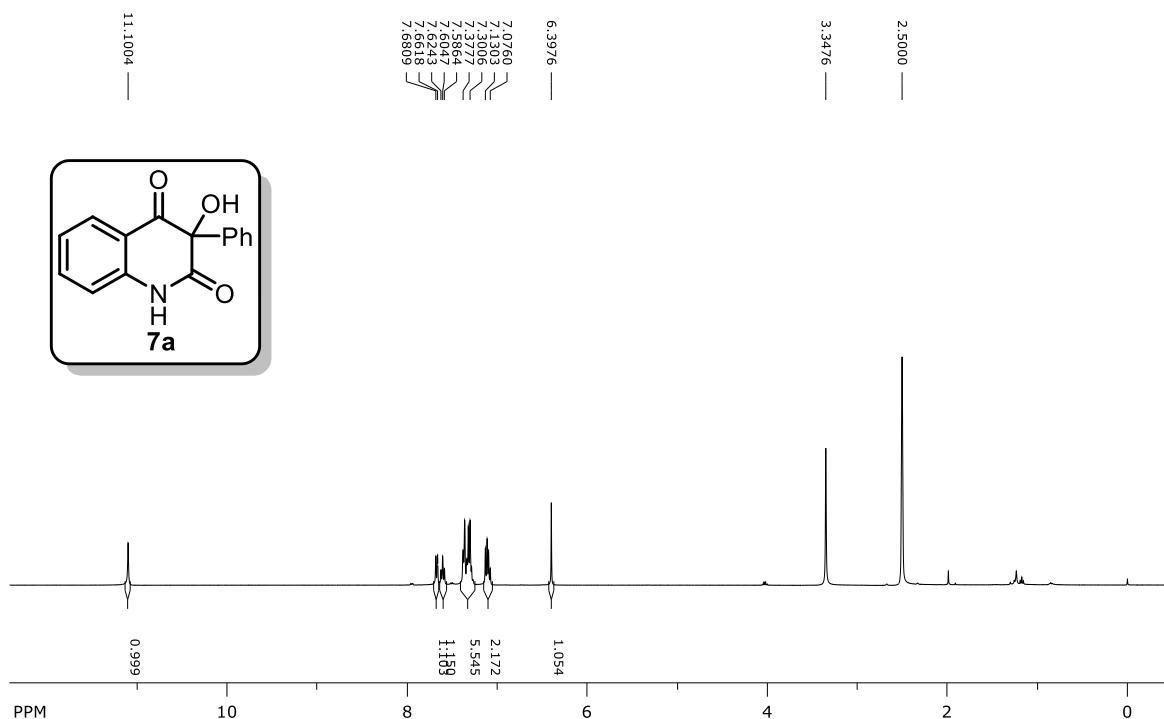
¹H NMR (400 MHz, CDCl₃):



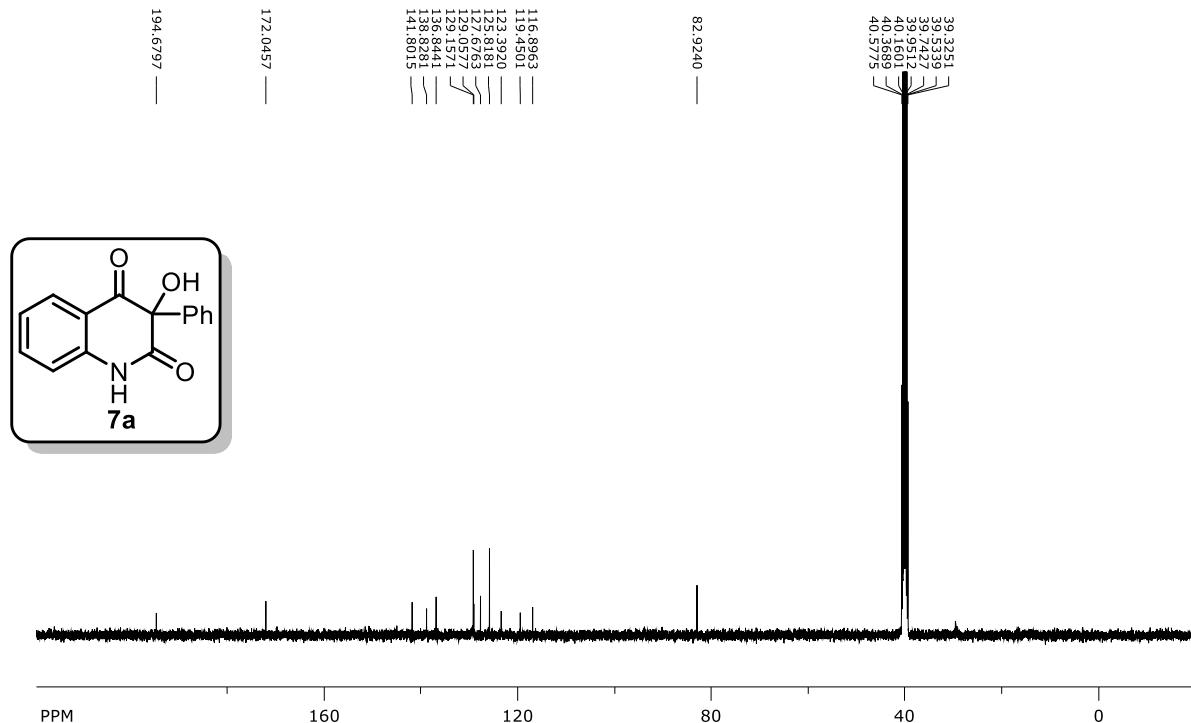
¹³C NMR (100 MHz, CDCl₃):



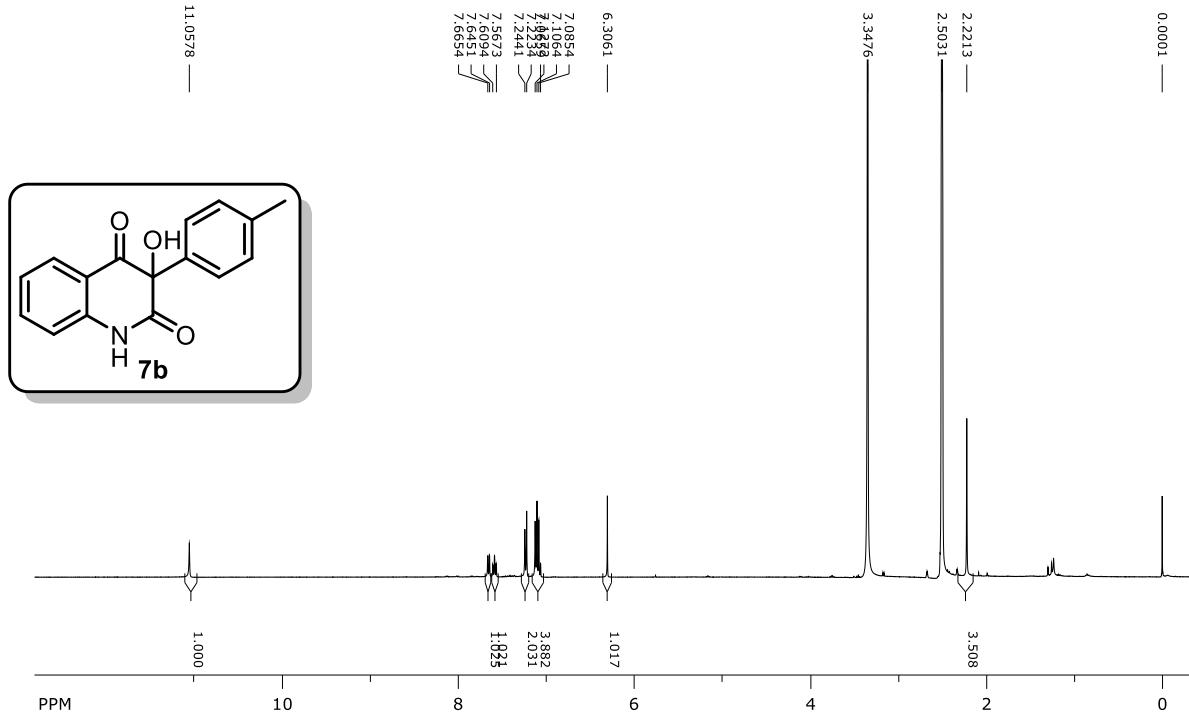
¹H NMR (400 MHz, DMSO-d⁶):



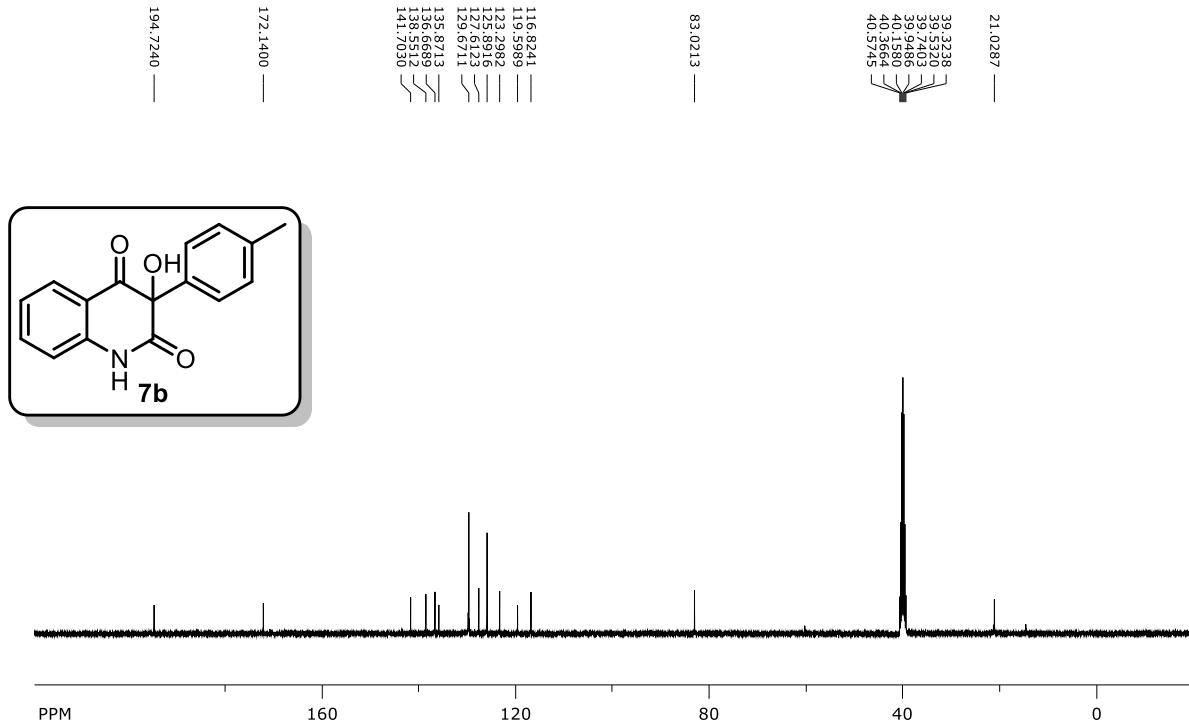
¹³C NMR (100 MHz, DMSO-d⁶):



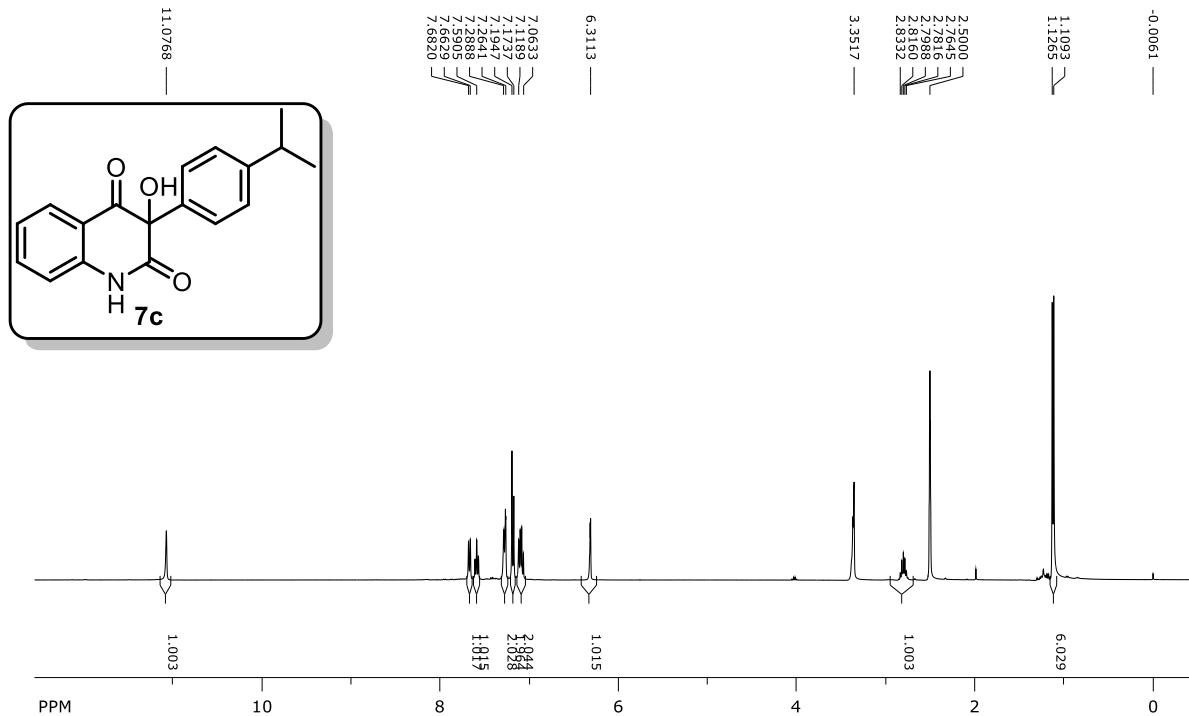
¹H NMR (400 MHz, DMSO-d⁶):



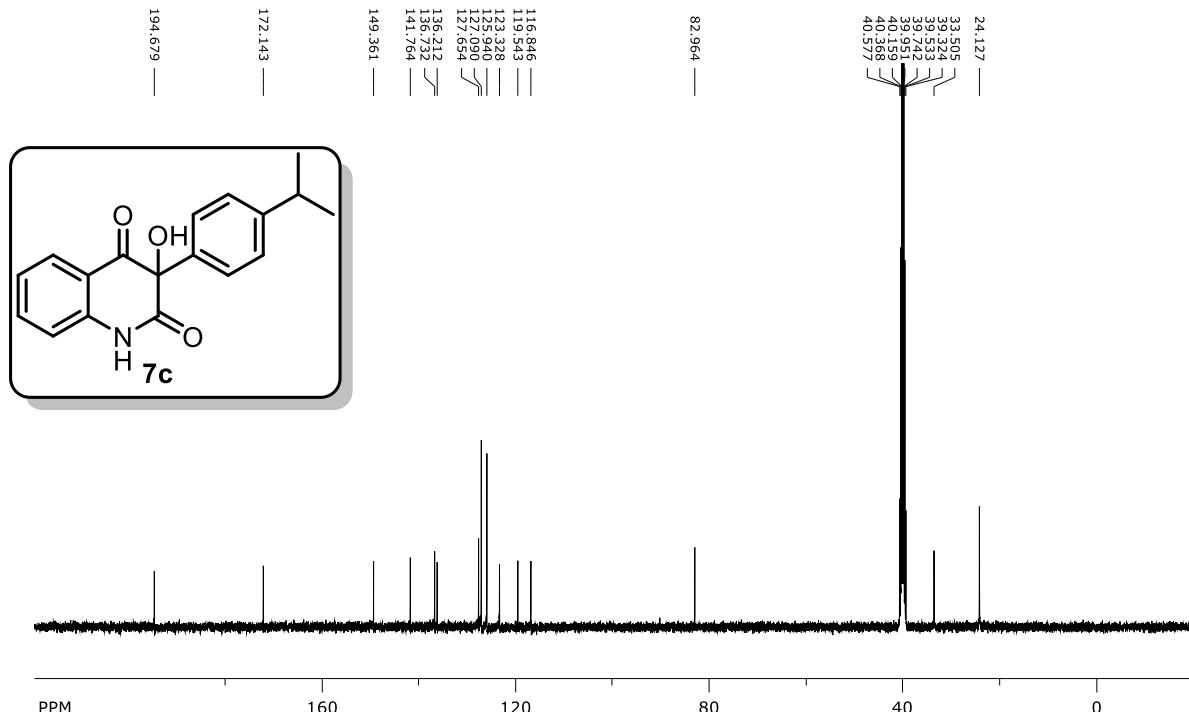
¹³C NMR (100 MHz, DMSO-d⁶):



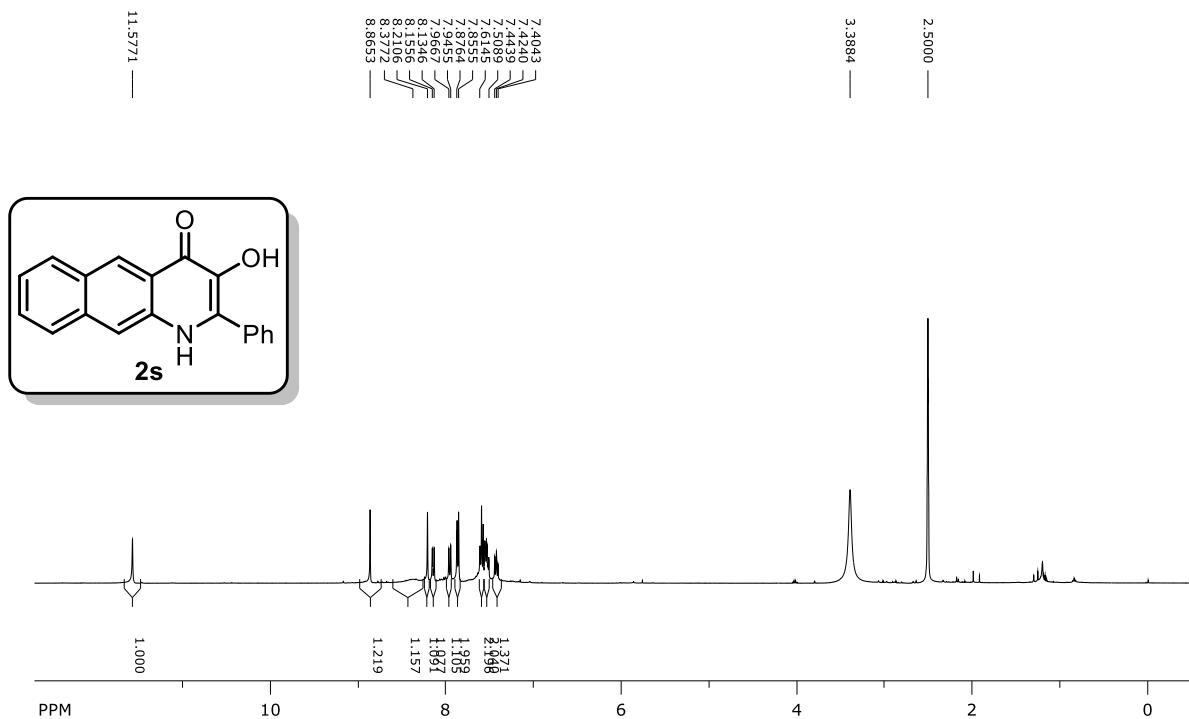
¹H NMR (400 MHz, DMSO-*d*⁶):



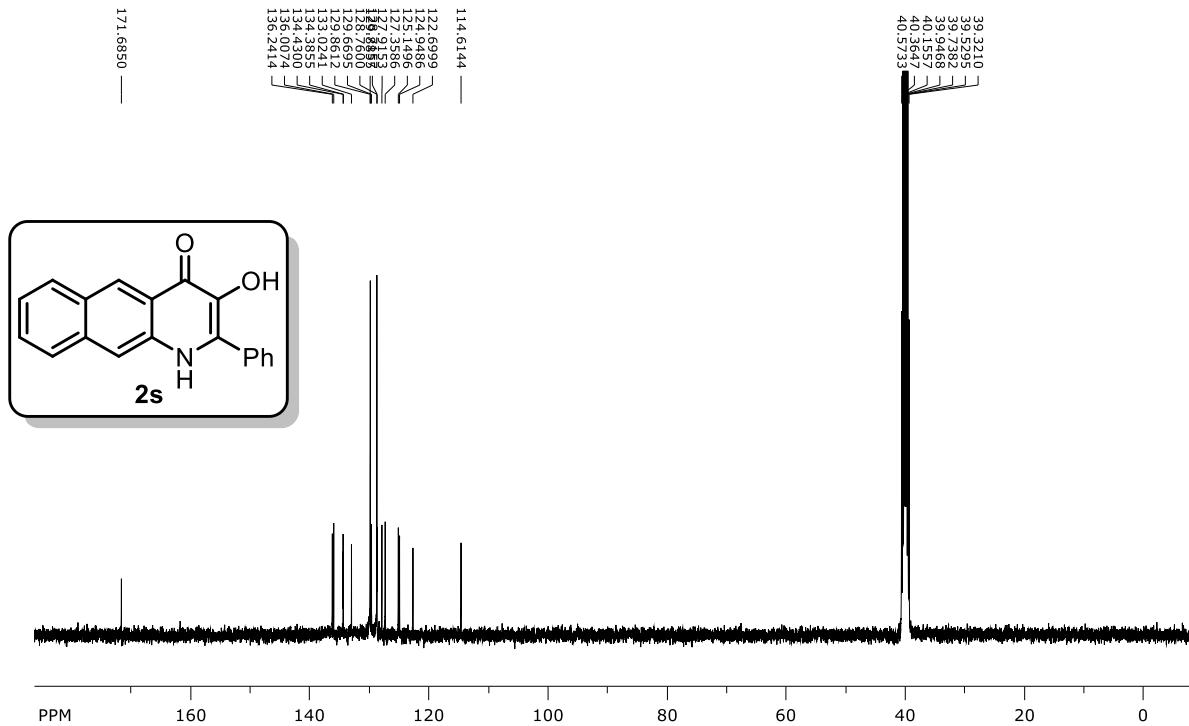
¹³C NMR (100 MHz, DMSO-*d*⁶):



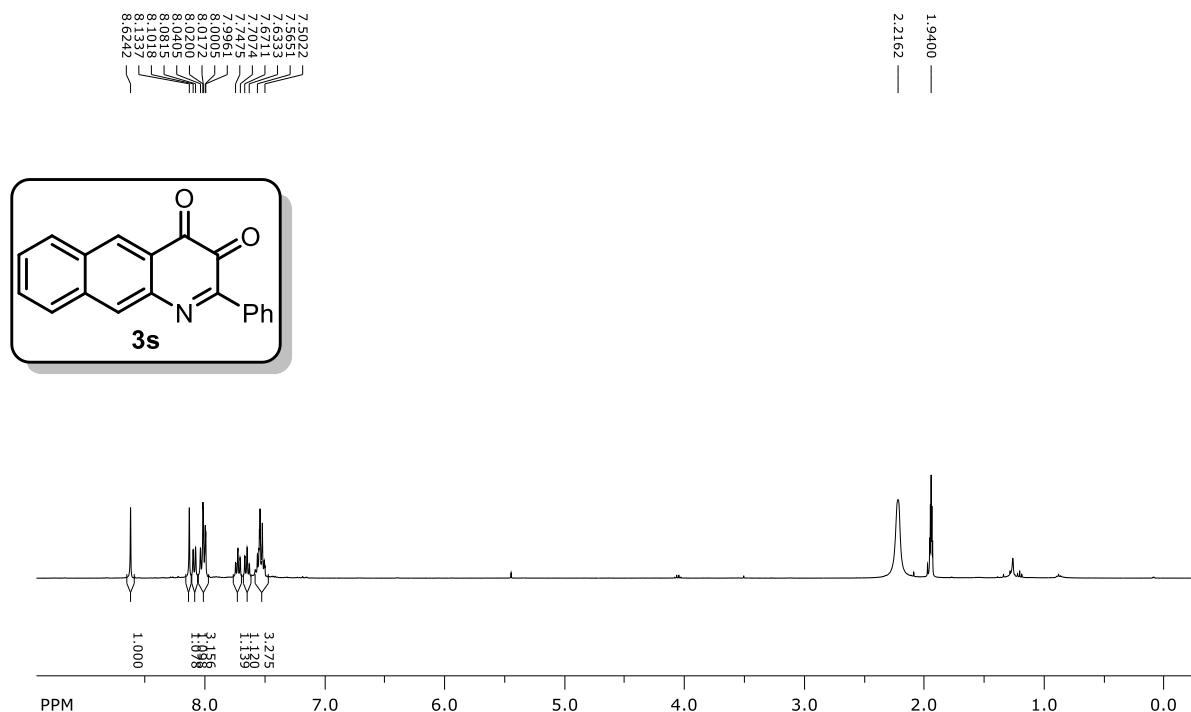
¹H NMR (400 MHz, DMSO-*d*⁶):



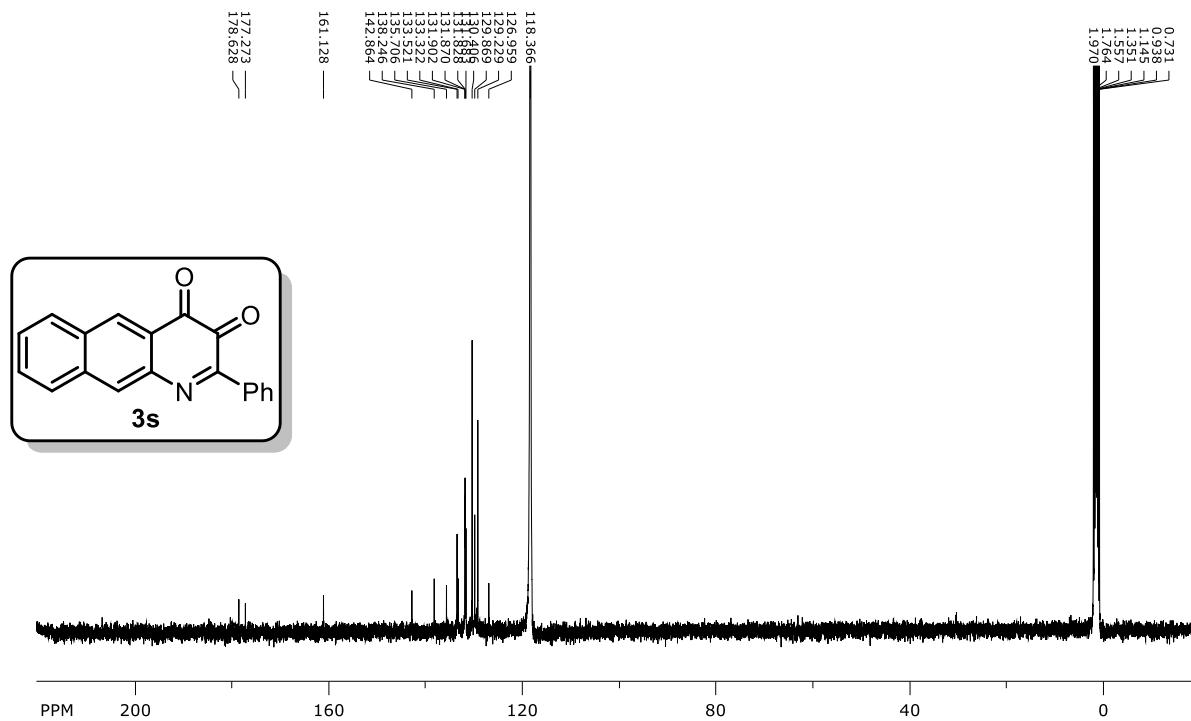
¹³C NMR (100 MHz, DMSO-*d*⁶):



¹H NMR (400 MHz, CD₃CN):



¹³C NMR (100 MHz, CD₃CN):



¹³C NMR (100 MHz, CD₃CN):

