Supporting Information

Atroposelective Synthesis of Barbiturate Substituted Chiral Styrenes

Manju Devi, Gyanshree Jain, Navya Gupta, Najmuddin Ansari and Ravi P. Singh[a]*

[a]*Department of Chemistry, Indian Institute of Technology, Delhi, Hauz Khas, New Delhi – 110 016, India Fax: (+91)-11-2658-1102; phone: (+91)-11-2659-1502.*

E-mail: ravips@chemistry.iitd.ac.in

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1. General considerations

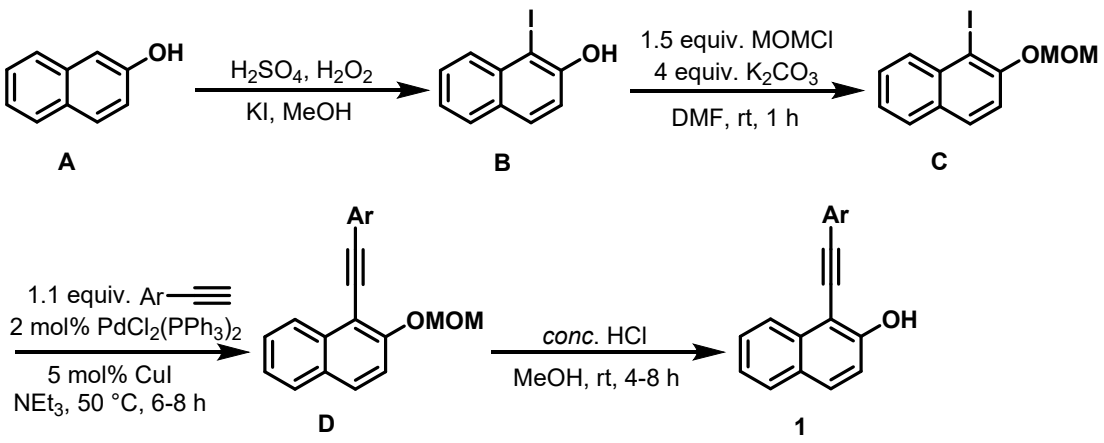
Unless otherwise noted, all the reactions were carried out in flame- or oven-dried reaction vessels using dry solvents under an argon atmosphere. NMR spectra were recorded on Bruker AV-400 MHz, and 500 MHz NMR spectrometers in chloroform-*d* using its residual proton signal as an internal reference. ^{1}H NMR data are reported as follows: chemical shift (δ, ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet), integration, coupling constant (Hz).^{13}C and ^{19}F NMR data are recorded in terms of chemical shift (δ, ppm). X-ray crystallography analysis of single crystal was performed on Bruker D8 Quest diffractometer.

High-resolution mass spectra (HRMS) of the compounds were recorded using a micrOTOF-Q mass spectrometer. Optical rotations were measured on Rudolph, Autopol III polarimeter, and are reported as follows: $[\alpha]^{25}_{D}$ (*c* in g per 100 mL solvent). FT-IR spectrometer was used to record IR spectrums, and values were reported in cm^{-1}. Enantiomeric excesses (ee) were determined by HPLC analysis on an Agilent 1220 Infinity LC instrument equipped with a quaternary pump, using a Chiralpak IA-ID, AD-H Column (250 × 4.6 mm), detection at 254 nm. Column chromatography was performed on Merck silica gel (100−200 mesh).

2.1. General procedure for the synthesis of starting materials:

2.2. General procedure for the preparation of substituted *ortho*-alkynyl naphthols

ortho-alkynyl naphthols **1a-1m** were prepared by following the modified reported literature procedure.[1]



A to **B**: To a solution of 2-naphthol **A** (6.0 g, 41.6 mmol) and potassium iodide (6.9 g, 41.6 mmol) in methanol (207 mL) at 0 °C, H_2SO_4 (62 mmol) was added dropwise and after 5 minutes, hydrogen peroxide (30% aqueous solution, 83.2 mmol) was added and then stirred it for 1.5 hours. Then mixture was filtered and concentrated. The filtrate was further dissolved in CH_2Cl_2 (360 mL), washed with sat. aq. $Na_2S_2O_3$, dried over sodium sulphate, and concentrated. Compound **B** (white solid) was purified by column chromatography.

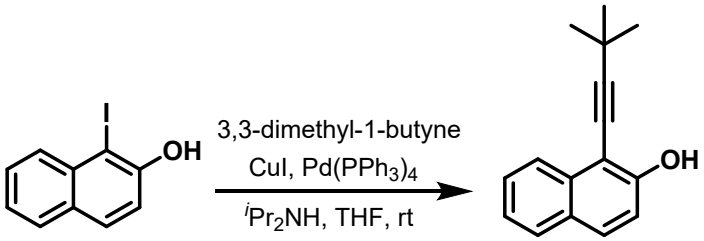
B to **C**: At 0 °C, Methoxy methyl chloride (2.3 mL, 30 mmol) was added to a mixture of **B** (5.4 g, 20 mmol) and potassium carbonate (11.2 g, 80 mmol) in dimethylformamide (80 mL). After

stirring it for 1 hour (determined by TLC) at room temperature, quenched with water and extracted with ethyl acetate. Then concentrated it and further purified by column chromatography to afford compound **C**.

C to **D**: To a dry flask containing **C** (6.28 g, 20 mmol) was sequentially added NEt_3 (40 mL), ethynylbenzene (22 mmol), $PdCl_2(PPh_3)_2$ (280.8 mg, 0.4 mmol) and CuI (190 mg, 1 mmol) under argon atmosphere. The reaction mixture was then refluxed for 6 h. After completion of the reaction (determined by TLC), the resulting mixture was filtered through celite. And concentrated. Purification of coupling product **D** was done by column chromatography.

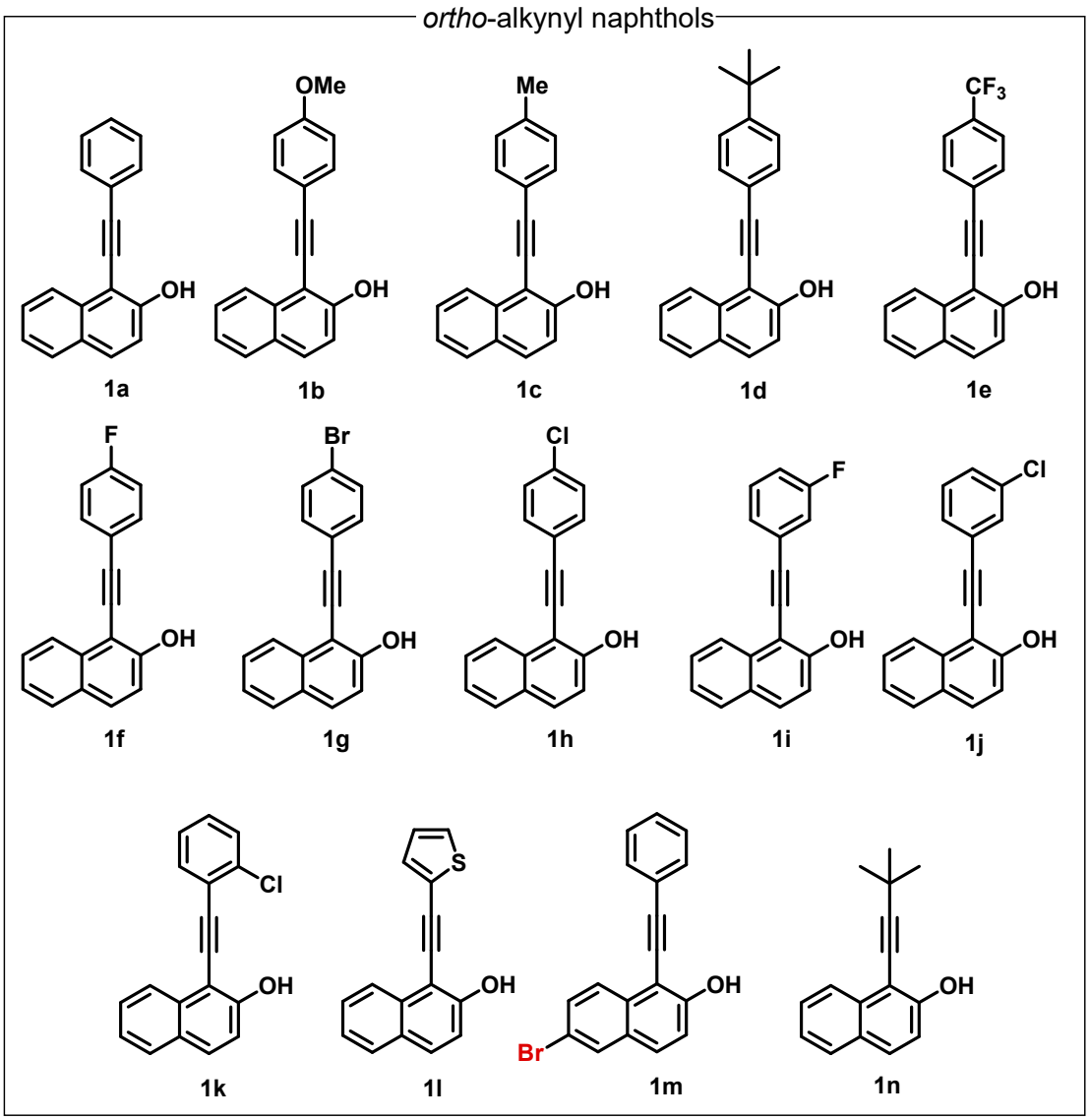
D to **1**: To a solution of **D** (10 mmol) in methanol (10 mL), conc. HCl (1 mL) was added dropwise and then stirred at room temperature till completion of the reaction. After completion of the reaction, ice water was added to it and extracted with ethyl acetate. Then concentrated it and further purified by column chromatography to obtain final compound **1** as pale yellow solid. NMR data matches with the data published in the literature.

ortho-alkynyl naphthol **1n** was prepared by following the modified reported literature procedure.[2]



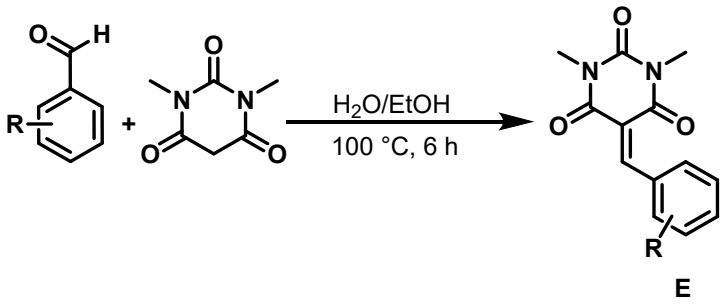
B **1n**

B to **1n:** To a dry round bottom flask containing **B** (2.7 g, 10 mmol), Pd $(PPh_3)_4$ (1.16 g, 1 mmol) and CuI (382 mg, 2 mmol) in 40 mL dry THF, was added 3,3-dimethyl-1-butyne (2.5 mL, 20 mmol) and diisopropyl amine (4.2 mL, 30 mmol) under nitrogen atmosphere. The reaction mixture was stirred for 4 h at rt till completion of the reaction (determined by TLC), the resulting mixture was filtered through celite, concentrated and purified by flash chromatography.

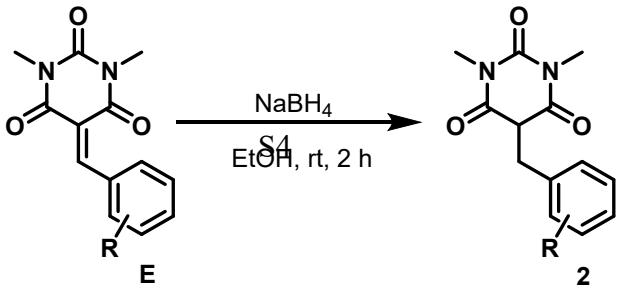


2.3. General procedure for the preparation of 5-substituted barbituric acids

5-substituted barbituric acids **2a-2r** were prepared in two steps by following the modified reported literature procedure.[3]



Step-1: To a mixture of the 1,3-dimethyl

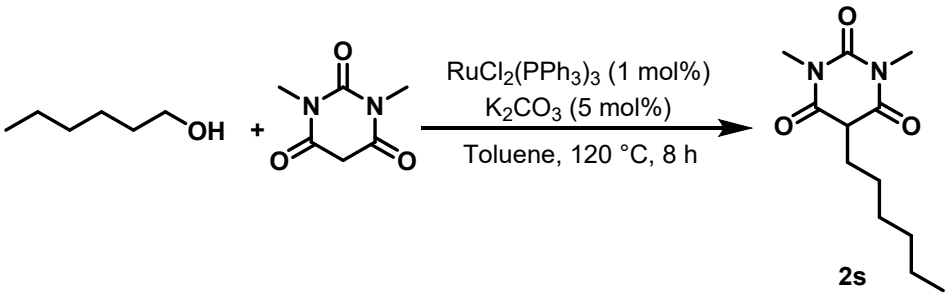


barbituric acid (3.12 g, 20 mmol) in water (80 mL) was added the corresponding benzaldehyde (20 mmol) in ethanol (16 mL) and refluxed in oil bath for 6 hours. After cooling, the resulting reaction mixture was filtered, and precipitates were collected and dried under vacuum. The corresponding products (**E**) were then used in the next step without further purification.

Step-2: To a solution of **E** (10 mmol) in ethanol (30 mL) was added $NaBH_4$ (377 mg, 10 mmol) in portions. After 2 hours, the resulting reaction mixture was concentrated under vacuum to remove ethanol and then quenched with 40 mL of aq. 1N HCl. The mixture was then extracted with ethyl acetate, dried over Na_2SO_4 and concentrated to afford the corresponding products (**2**). NMR data were consistent with the data published in the literature.

Barbituric acid **2s** was prepared by using the modified reported literature procedure.[4]

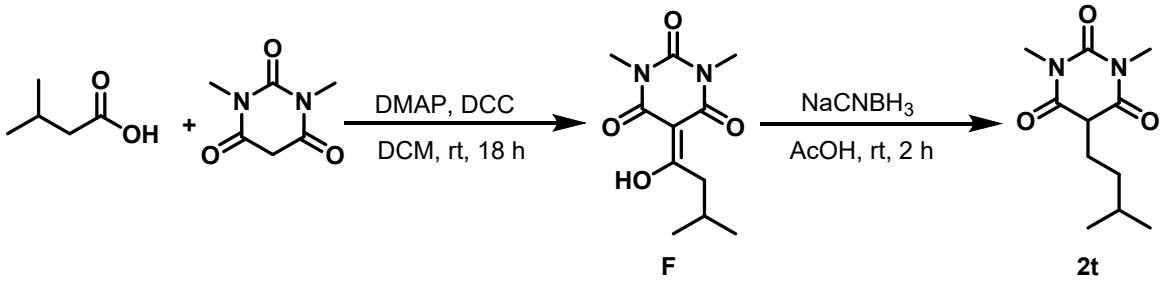
1,3-dimethylbarbituric acid (1.56 g, 2 mmol), hexan-1-ol (2.4 mmol), $RuCl_2(PPh_3)_3$ (19.2 mg,1 mol%), K_2CO_3 (13.8 mg, 5 mol%) and dry toluene (2 mL) were added to sealed tube under



Ar atmosphere and stirred at 120 °C for 8 hours. Solvent was then evaporated under reduced pressure and the crude product was purified by column chromatography to afford product **2s**.

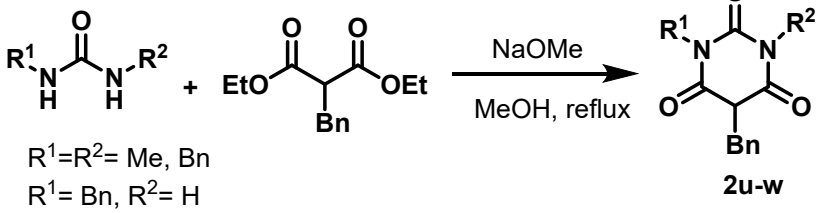
Compound **2t** was prepared in two steps by using the modified reported literature procedure.[5]

To a mixture of 1,3-dimethylbarbituric acid (1.56 g, 10 mmol, 1.0 equiv.), isovaleric acid (1.8 mL, 15 mmol, 1.5 equiv.), and DMAP (0.61 g, 5 mmol, 0.5 equiv.) in CH_2Cl_2 (10 mL) at 0 °C,



DCC (2.27 g, 11 mmol, 1.1 equiv.) was added portion wise and stirred it for about 18 h at room temperature. The reaction mixture was then filtered and washed with dichloromethane. The filtrate was then washed with 2N HCl, dried and concentrated. The compound (**F**) was further recrystallized from methanol and converted directly into the title compound (**2t**) using $NaCNBH_3$ (1.57 g, 19.7 mmol, 3 equiv.) in AcOH (10 mL) for 2 hours at room temperature.

Barbituric acids **2u-w** were prepared by using the reported modified literature procedure.[6]

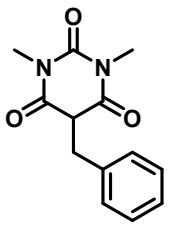


R^1=R^2= Me, Bn
R^1= Bn, R^2= H

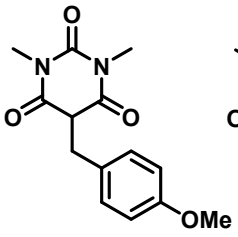
In a flame-dried round-bottomed flask fitted with a reflux condenser, Na metal (230 mg, 10 mmol) in 4 mL of dry MeOH was stirred until the disappearance of the sodium. A solution of symmetrical /unsymmetrical urea (10 mmol) in 4 mL of dried MeOH was added dropwise, followed by the addition of benzylated malonate and refluxed for 20 h. After completion of the

reaction, 20 ml of hot water was added and acidified with HCl (2N). The precipitates were washed with MeOH and dried under vacuum at 80 ℃.

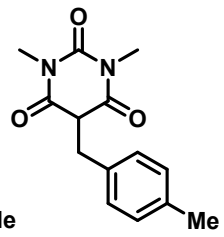
5-Substituted barbituric acid derivatives



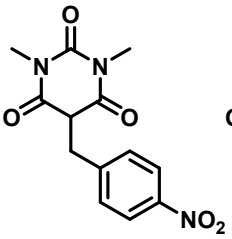
2a



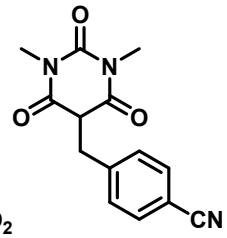
2b



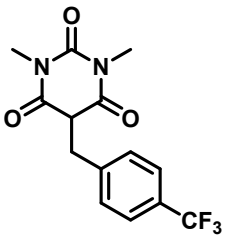
2c



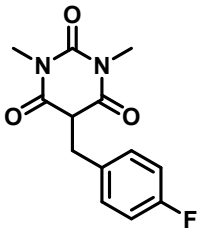
2d



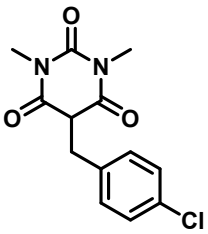
2e



2f



2g



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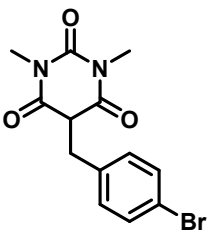
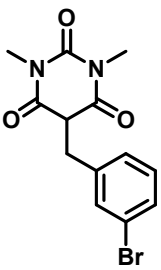


2i



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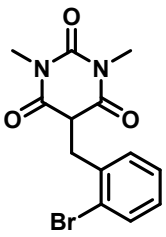
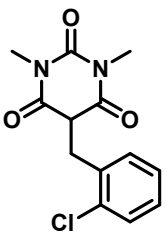
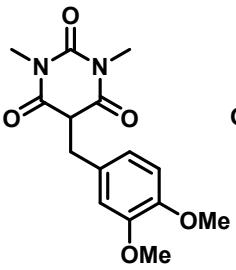


2k



2l



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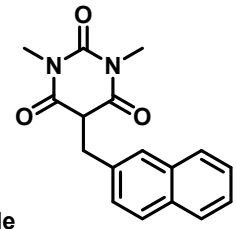

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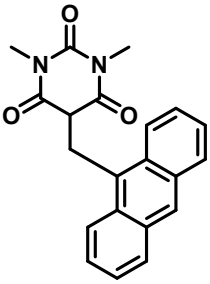

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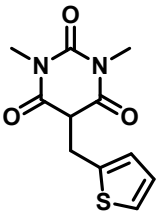

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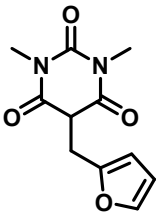

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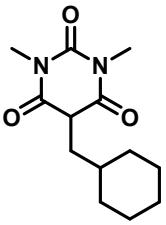

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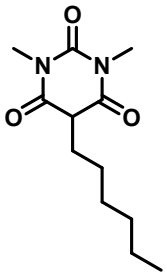
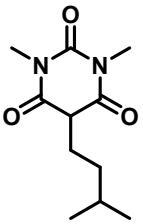


2s



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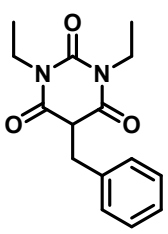

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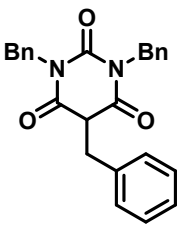

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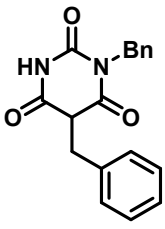


2w

3. Preparation of the catalysts:

Catalysts **C1-C4** [7(a)], **C5**[7(b)] and **C6-C8** [7(c)] were prepared according to known literature

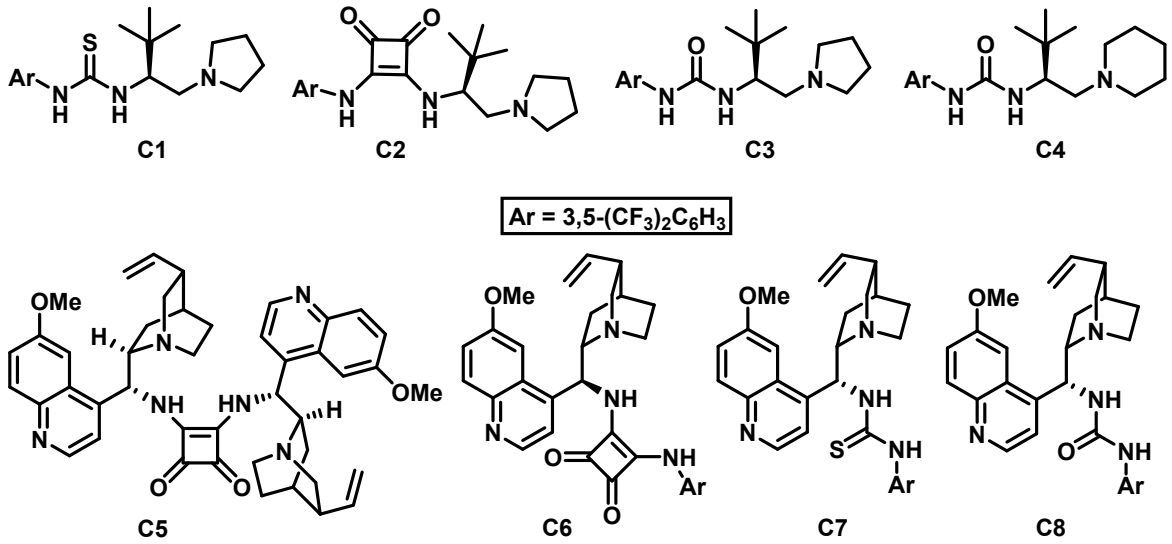
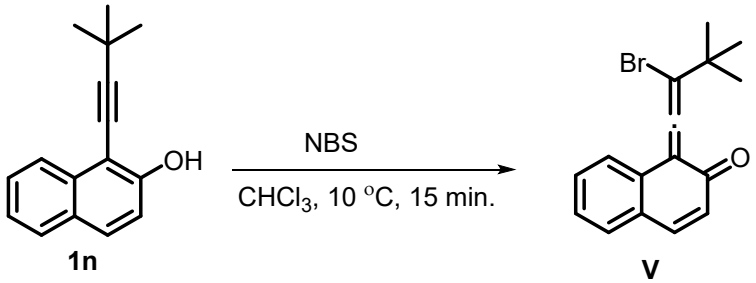


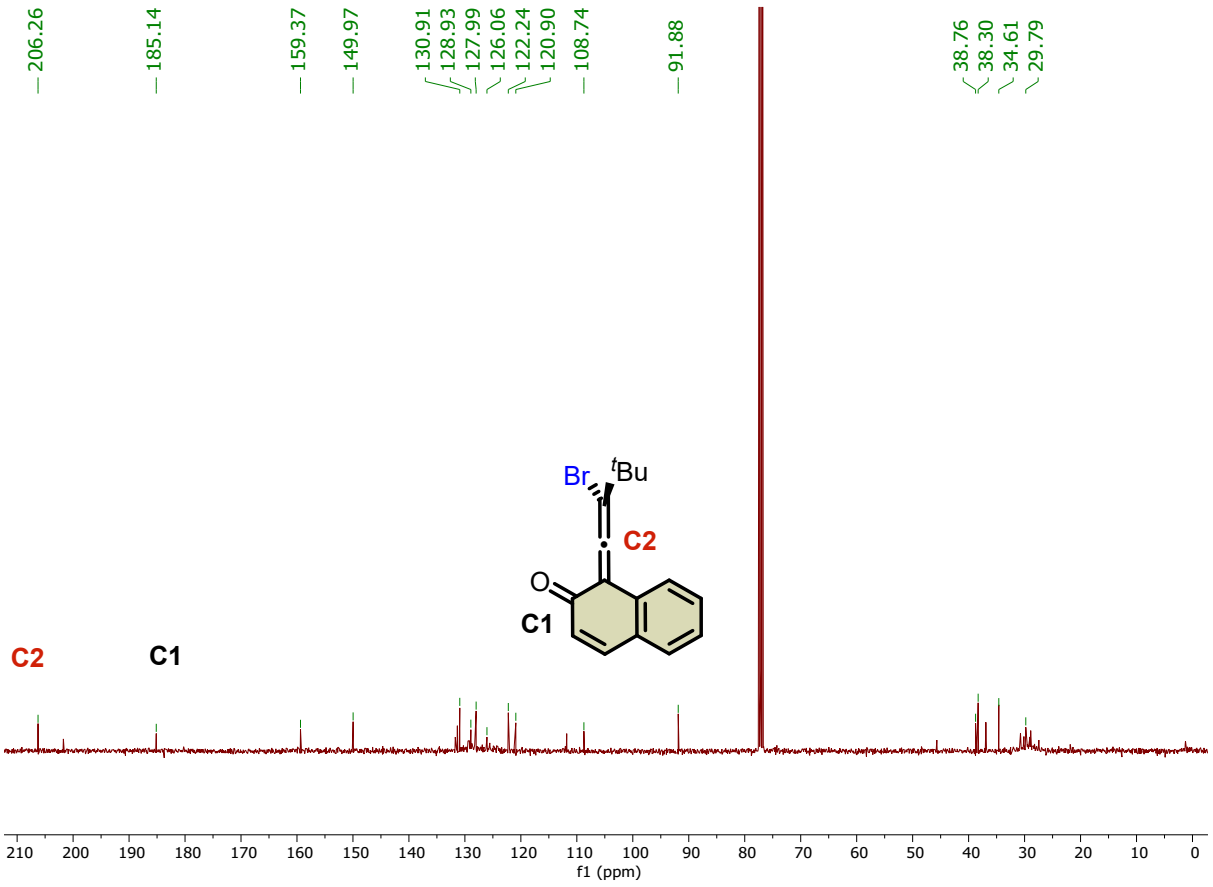
Figure S1

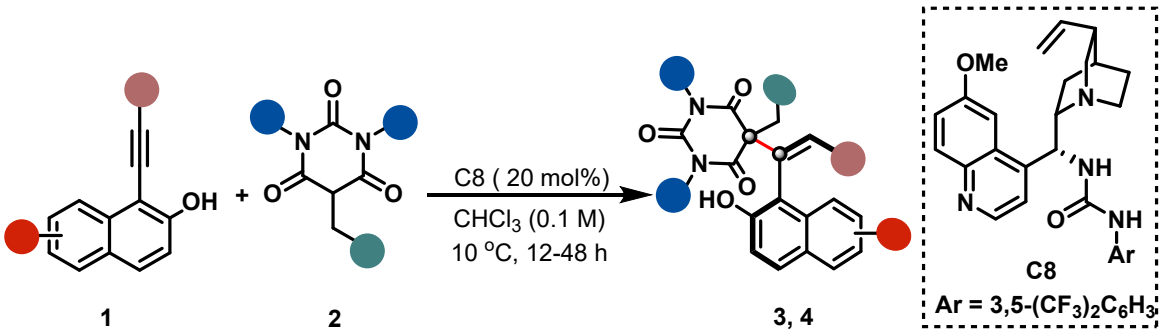
procedures (**Figure S1**).

4. Controlled experiment:

A solution of **1n** (0.1 mmol) and catalyst-**C8** (0.02 mmol) in toluene (8.0 mL) was stirred at 10 ^{o}C for 15 min..To this solution, **NBS** (0.12 mmol) was added and further stirred for 15 min. After complete consumption of **1n**, the reaction was quenched with saturated aqueous $Na_2S_2O_3$ solution. The solution was extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The crude mixture was taken for NMR spectroscopy and **^{13}C NMR** was recorded as shown belowwhich is inconsistent with the data given in literature.[2]







5. General procedure for asymmetric reaction

To a solution of substituted *ortho*-alkynyl naphthol **1** (0.1 mmol, 1 equiv.) and 5-substituted barbituric acid **2** (0.12 mmol, 1.2 equiv.) in 1 mL $CHCl_3$, catalyst **C8** (20 mol%) was added at 10 °C and stirred for 12-48 h (determined by TLC). After completion of the reaction, the reaction mixture was concentrated and further purified by column chromatography on silica gel (EtOAc:hexane = 1:7) without any workup to afford the chiral product **3,4.**

(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3aa): Physical properties:** light yellow sticky solid; **Yield:** 99%, 48.7 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.25 (s, 1H), 8.44 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.50 – 7.46 (m, 1H), 7.34 – 7.29 (m, 2H), 7.22 – 7.16 (m, 3H), 7.02 (t, *J* = 7.3 Hz, 1H), 6.96 (t, *J* = 7.5 Hz, 2H), 6.90 (d, *J* = 5.9 Hz, 2H), 6.78 (d, *J* = 7.5 Hz, 2H), 6.69 (s, 1H), 3.24 (d, *J* = 12.8 Hz, 1H), 3.21 (s, 3H), 3.20 (s, 3H), 3.13 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.3, 153.1, 149.8, 138.7, 135.1, 134.5, 133.6, 133.3, 131.1, 129.0, 128.9, 128.7, 128.5, 128.4, 128.2, 128.0, 126.9, 125.3, 123.5, 119.2, 115.3, 64.3, 44.3, 28.9, 28.8. **FTIR** (KBr) cm^{-1}: 3403.02, 2932.26, 1692.21, 1663.39, 1503.95, 1456.98, 1373.53, 1268.28, 1107.53, 1031.57, 749.75, 699.53. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{26}N_2NaO_4$: 513.1785; found 513.1753. **HPLC**: The enantiomeric excess was determined to be 99% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 17.827 min, t (minor) = 21.970 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +24.43 (c = 4.74, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-5-(4-methoxybenzyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ab): Physical properties:** White sticky solid; **Yield:** 98%, 50.9 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.21 (s, 1H), 8.42 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.50 – 7.46 (m, 1H), 7.34 – 7.29 (m 2H), 7.04 – 6.94 (m, 3H), 6.84 – 6.77 (m, 4H), 6.72 – 6.69 (m, 3H), 3.73 (s, 3H), 3.24 (s, 3H), 3.22 (s, 3H), 3.20 (d, *J* = 13.0 Hz, 1H), 3.10 (d, *J* = 13.0 Hz, 1H).**^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.4, 159.3, 153.0, 149.8, 138.6, 135.1, 134.5, 133.3, 131.1, 130.0, 128.9, 128.7, 128.3, 128.2, 127.9, 126.9, 125.3, 125.3, 123.5, 119.2, 115.3, 113.8, 64.4, 55.1, 43.5, 29.0, 28.8. **FTIR** (KBr) cm^{-1}: 3416.03,

2924.97, 1691.9, 1662.04, 1510.68, 1457.40, 1372.48, 1258.33, 1029.88, 747.24, 479.62. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{28}N_2NaO_5$: 543.1890; found 543.1905. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak AD-H, hexane: isopropanol = 85:15, 0.5 mL/min, λ = 254 nm, t (major) = 23.251 min, t (minor) = 21.186 min. **Optical Rotation:** $[\alpha]^{25}_D$ = +38.76 (c = 4.43, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-5-(4-methylbenzyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3ac): Physical properties:** Yellow sticky solid; **Yield:** 95%, 47.8 mg.**^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.27 (s, 1H), 8.45 (d, *J* = 9.2 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.50 – 7.46 (m, 1H), 7.34 – 7.29 (m, 2H), 7.04 – 6.95 (m, 5H), 6.79 (d, *J* = 8.0 Hz, 4H), 6.70 (s, 1H), 3.23 (s, 3H), 3.22 (s, 3H), 3.21 (d, *J* = 12.8 Hz, 1H), 3.11 (d, *J* = 12.8 Hz, 1H), 2.26 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.7, 171.4, 153.0, 149.8, 138.6, 137.8, 135.2, 134.5, 133.3, 131.1, 130.3, 129.2, 128.9, 128.8, 128.7, 128.3, 128.2, 127.9, 126.9, 125.3, 123.5, 119.2, 115.3, 64.3, 43.9, 28.9, 28.8, 21.1. **FTIR** (KBr) cm^{-1}: 3434.49, 2924.12, 1689.01, 1657.73, 1442.8, 1378.17, 1276, 1149, 752.30. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{28}N_2NaO_4$: 527.1941; found 527.1914. **HPLC**: The enantiomeric excess was determined to be 96% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 24.361 min, t (minor) = 21.050 min. **Optical Rotation:** $[\alpha]^{25}_D$ = +29.11 (c = 4.11, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-5-(4-nitrobenzyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3ad): Physical properties:** Yellow sticky solid; **Yield:** 99%, 53 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.50 (s, 1H), 8.22 (d, *J* = 8.5 Hz, 1H), 8.08 (d, *J* = 8.3 Hz, 2H), 7.88 (d, *J* = 8.9 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.49 (t, *J* = 7.7 Hz, 1H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.19 (d, *J* = 8.3 Hz, 2H), 7.07 (t, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 2H), 6.85– 6.81 (m, 3H), 3.48 (d, *J* = 12.7 Hz, 1H), 3.38 (d, *J* = 12.7 Hz, 1H), 3.26 (s, 3H), 3.21 (s, 3H).**^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.1, 170.3, 152.8, 149.5, 147.6, 141.8, 138.3, 134.1, 134.1, 132.9, 131.4, 130.4, 129.0, 128.7, 128.3, 128.1, 127.1, 124.9, 123.8, 123.7, 119.0, 115.0, 63.5, 42.5, 29.1, 29.0. **FTIR** (KBr) cm^{-1}: 3400.90, 2925.96, 1685.2, 1660.16, 1461.84, 1432.8, 1380.47, 1348.02, 1280.75, 1115.78, 750.40, 692.20. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}N_3NaO_6$: 558.1635; found 558.1610. **HPLC:** The enantiomeric excess was

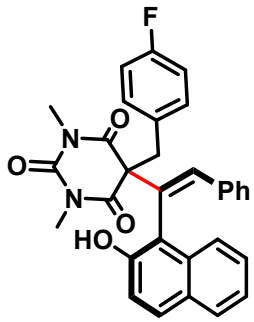
determined to be 91% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 22.614 min, t (minor) = 26.996 min. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +38.76 (c = 3.08, $CHCl_3$).

(E)-4-((5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-2,4,6-trioxohexahydropyrimidin-5-yl)methyl)benzonitrile **(3ae): Physical properties:** White sticky solid; **Yield:** 95%, 49 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.57 (s, 1H), 8.22 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.50 – 7.45 (m, 3H), 7.34 – 7.27 (m, 2H), 7.09 (d, *J* = 8.3 Hz, 2H), 7.05 – 6.95 (m, 3H), 6.81 – 6.78 (m, 3H), 3.40 (d, *J* = 12.7 Hz, 1H), 3.30 (d, *J* = 12.7 Hz, 1H), 3.22 (s, 3H), 3.18 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.2, 170.4, 152.8, 149.5, 139.7, 138.3, 134.2, 132.9, 132.2, 131.3, 130.1, 129.0, 128.7, 128.6, 128.2, 128.1, 127.1, 124.9, 123.7, 119.0, 118.1, 115.0, 112.1, 63.5, 42.9, 29.0, 28.9. **FTIR** (KBr) cm^{-1}: 3427.70, 2964.85, 2228.10, 1688.44, 1661.76, 1456.90, 1377.87, 1269.08, 962.69, 822.69, 752.06, 694.77, 567.87. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{25}N_3NaO_4$: 538.1737; found 538.1731. **HPLC**: The enantiomeric excess was determined to be 92% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 22.720 min, t (minor) = 28.032 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +25.13 (c = 4.09, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-5-(4-(trifluoromethyl)benzyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3af): Physical properties:** Light yellow sticky solid; **Yield:** 92%, 51.2 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.75 (s, 1H), 8.28 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.79 (d, *J* = 7.4 Hz, 1H), 7.50 – 7.46 (m, 3H), 7.35 – 7.30 (m, 2H), 7.09 (d, *J* = 8.0 Hz, 2H), 7.04 (t, *J* = 7.4 Hz, 1H), 6.98 (t, *J* = 7.7 Hz, 2H), 6.80 (d, *J* = 7.2 Hz, 3H), 3.39 (d, *J* = 12.7 Hz, 1H), 3.29 (d, *J* = 12.8 Hz, 1H), 3.23 (s, 3H), 3.19 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.6, 170.7, 152.8, 149.6, 138.4, 138.2, 134.5, 134.3, 133.0, 131.3, 129.7, 129.0, 128.7, 128.6, 128.2, 128.1, 127.0, 125.4, 125.0, 123.7, 119.1, 115.1, 63.7, 42.9, 29.0, 28.9. **^{19}F NMR (**471 MHz, $CDCl_3$) δ -62.6. **FTIR** (KBr) cm^{-1} : 3429.47, 2926.30, 1748.81, 1675.73, 1420.83, 1443.97, 1378.45, 1326.66, 1121.52, 1168.68, 1168.68, 823.20, 750.25. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{25}F_3N_2NaO_4$: 581.1658; found 581.1669. **HPLC**: The enantiomeric excess was determined to be 90% [determined by HPLC, Chiralpak IB, hexane:isopropanol =

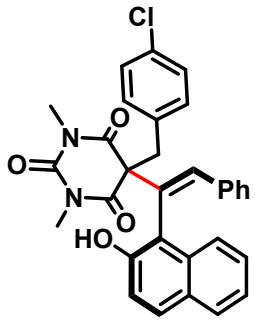
95:5, 0.5mL/min, λ = 254 nm, t (major) = 15.774 min, t (minor) = 19.224 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +12.47 (c = 5.33, $CHCl_3$).

(E)-5-(4-fluorobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ag): Physical properties:** White sticky solid;



Yield: 91%, 46.2 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.37 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.48 (t, *J* = 7.0 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.05 – 6.95 (m,, 3H), 6.93 – 6.86 (m, 4H), 6.79 (d, *J* = 7.6 Hz, 2H), 6.73 (s, 1H), 3.27 (d, *J* = 12.9 Hz, 1H), 3.24 (s, 3H), 3.22 (s, 3H), 3.16 (d, *J* = 12.9 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.2, 171.1, 163.4, 161.4, 152.9, 149.7, 138.6, 134.8, 134.4, 133.2, 131.2, 130.7, 129.5, 128.9, 128.7, 128.4, 128.2, 128.0, 127.0, 125.2, 123.6, 119.1, 115.6, 115.5, 115.2, 64.1, 43.0, 29.0, 28.9. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -113.3. **FTIR** (KBr) cm^{-1}: 3413.62, 2926.23, 1690.02, 1662.36, 1509.27, 1457.77,1376.54, 1227.58, 1160.70, 1111.49, 1033.75, 823.85, 750.68, 693.82. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}FN_2NaO_4$: 531.1690; found 531.1671. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm, t (major) = 18.025 min, t (minor) = 22.535 min. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +24.82 (c = 0.584, $CHCl_3$).

(E)-5-(4-chlorobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ah): Physical properties:** White sticky solid; **Yield:** 97%, 51 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.27 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.9 Hz, 1H), 7.73 (d, *J* = 8.7 Hz, 1H), 7.42 (t, *J* = 7.8 Hz, 1H), 7.29 (d, *J* = 6.9 Hz, 1H), 7.23 (d, *J* = 8.9 Hz, 1H), 7.12 (d, *J* = 8.4 Hz, 2H), 7.00 – 6.90 (m, 3H), 6.83 (d, *J* = 8.3 Hz, 2H), 6.74 (d, *J* = 7.6 Hz, 2H), 6.69 (s, 1H), 3.22 (d, *J* = 12.9 Hz, 1H), 3.20 (s, 3H), 3.17 (s, 3H), 3.11 (d, *J* = 12.9 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.0, 170.9, 152.9, 149.7, 138.4, 134.8, 134.4, 134.1, 133.1, 132.3, 131.2, 130.5, 129.0, 128.8, 128.7, 128.5, 128.2, 128.0, 127.0, 125.1, 123.6, 119.1, 115.1, 63.9, 42.9, 29.0, 28.9. **FTIR** (KBr) cm^{-1}: 3428.02, 2925.46, 1688.6, 1658.73, 1447.44, 1376.85, 1226.68, 1117.3, 1092.62, 819.88, 751.4. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}ClN_2NaO_4$: 547.1397; found 547.1401. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5

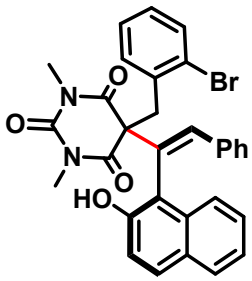


mL/min, λ = 254 nm, t (major) = 19.211 min, t (minor) = 24.865 min. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +29.67 (c = 3.83, $CHCl_3$).

(E)-5-(4-bromobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ai): Physical properties:** White sticky solid; **Yield:** 98%, 56 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.79 (s, 1H), 8.23 (d, *J* = 8.6 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 1H), 7.25 – 7.18 (m, 4H), 6.97 – 6.87 (m, 3H), 6.74 – 6.66 (m, 4H), 6.66 (s, 1H), 3.18 (d, *J* = 12.8 Hz, 1H), 3.16 (s, 3H), 3.13 (s, 3H), 3.07 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.0, 170.9, 152.9, 149.7, 138.4, 134.7, 134.3, 133.1, 132.8, 131.7, 131.2, 130.8, 129.0, 128.7, 128.5, 128.2, 128.0, 127.0, 125.1, 123.6, 122.3, 119.1, 115.1, 63.8, 42.9, 29.0, 28.9. **FTIR** (KBr) cm^{-1}: 3434.21, 2925.51, 1688.18, 1660.21, 1447.42, 1378.56, 1227.02, 1116.37, 821.09, 752.30, 691.90, 509.21. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}BrN_2NaO_4$: 591.0889; found 591.0865. **HPLC**: The enantiomeric excess was determined to be 94% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm, t (major) = 19.177 min, t (minor) = 24.512 min. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +27.14 (c = 4.4, $CHCl_3$).

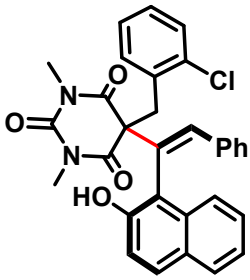
(E)-5-(3-bromobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3aj): Physical properties:** White sticky solid; **Yield:** 96%, 55 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.98 (s, 1H), 8.29 (d, *J* = 9.5 Hz, 1H), 7.77 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 9.5 Hz, 1H), 7.39 (t, *J* = 8.4 Hz, 1H), 7.26 – 7.17 (m, 3H), 7.03 (t, *J* = 1.8 Hz, 1H), 6.98 – 6.92 (m, 2H), 6.88 (t, *J* = 7.5 Hz, 2H), 6.76 (d, *J* = 7.7 Hz, 1H), 6.69 (d, *J* = 7.2 Hz, 2H), 6.62 (s, 1H), 3.17 (s, 3H), 3.15 (s, 3H), 3.13 (d, *J* = 12.7 Hz, 1H), 3.02 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.2, 171.0, 153.0, 149.6, 138.7, 136.0, 134.7, 134.4, 133.2, 132.0, 131.3, 131.2, 130.0, 128.9, 128.7, 128.5, 128.2, 128.0, 127.6, 127.0, 125.1, 123.6, 122.6, 119.2, 115.0, 64.0, 43.5, 29.0, 28.9. **FTIR** (KBr) cm^{-1}: 3435.98, 2925.28, 1688.34, 1656.52, 1434.16, 1230.48, 1379.55, 1111.05, 751.26, 692.82. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}BrN_2NaO_4$: 591.0890; found 591.0865. **HPLC**: The enantiomeric excess was determined to be 96% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 15.674 min, t (minor) = 18.975 min. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +29.24 (c = 4.11, $CHCl_3$).

(E)-5-(2-bromobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ak): Physical properties:** White sticky solid;



Yield: 93%, 53 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.59 (s, 1H), 8.60 (d, *J* = 8.6 Hz, 1H), 7.77 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.42 (t, *J* = 7.0 Hz, 1H), 7.26 – 7.16 (m, 3H), 7.07 – 6.86 (m, 5H), 6.81 (d, *J* = 9.4 Hz, 1H), 6.71 (d, *J* = 7.7 Hz, 2H), 6.56 (s, 1H), 3.36 (d, *J* = 13.4 Hz, 1H), 3.17 (s, 3H), 3.18 (d, *J* = 13.4 Hz, 1H), 3.13 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.4, 171.1, 153.3, 149.8, 139.8, 134.9, 134.7, 134.6, 133.8, 131.6, 131.5, 131.1, 129.9, 129.7, 128.8, 128.7, 128.3, 128.1, 128.0, 127.1, 126.5, 125.2, 123.5, 119.3, 114.8, 63.7, 41.1, 29.6, 29.2. **FTIR** (KBr) cm^{-1}: 3425.08, 2924.59, 1688.47, 1660.42, 1448.18, 1377.97, 1227.11, 821.12, 752.38, 507.62. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}BrN_2NaO_4$: 591.0889; found 591.0881. **HPLC**: The enantiomeric excess was determined to be 89% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 21.090 min, t (minor) = 31.257 min. **Optical Rotation:** $[\alpha]^{25}_D$ = +757.5 (c = 0.16, $CHCl_3$).

(E)-5-(2-chlorobenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3al): Physical properties:** Light yellow sticky solid; **Yield:** 92%, 48.3 mg.



^{1}H NMR (500 MHz, $CDCl_3$) δ 9.59 (s, 1H), 8.60 (d, *J* = 8.6 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.43 (t, *J* = 5.0 Hz, 1H), 7.26 – 7.17 (m, 3H), 7.06 (t, *J* = 7.7 Hz, 1H), 7.00 (t, *J* = 6.9 Hz, 1H), 6.94 (t, *J* = 5.0 Hz, 1H), 6.90 – 6.87 (m, 2H), 6.82 (d, *J* = 7.7 Hz, 1H), 6.71 (d, *J* = 7.6 Hz, 2H), 6.56 (s, 1H), 3.36 (d, *J* = 13.4 Hz, 1H), 3.18 (s, 3H), 3.15 (d, *J* = 13.4 Hz, 1H), 3.14 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.4, 171.1, 153.3, 149.8, 139.8, 134.9, 134.7, 134.6, 133.8, 131.6, 131.5, 131.1, 129.9, 129.7, 128.8, 128.7, 128.3, 128.2, 128.0, 127.1, 126.5, 125.2, 123.5, 119.3, 114.8, 63.7, 41.1, 29.6, 29.2. **FTIR** (KBr) cm^{-1}: 3365.37, 1692.26, 1663.85, 1506.76, 1437.12, 1374.57, 1269.63, 1102.65, 817.72, 752.54. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}ClN_2NaO_4$: 547.1395; found 547.1409. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 19.250 min, t (minor) = 26.752 min. **Optical Rotation:** $[\alpha]^{25}_D$ = +58.51 (c = 1.85, $CHCl_3$).

(E)-5-(3,4-dimethoxybenzyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3am): Physical properties:** Yellow sticky solid; **Yield:** 91%, 50 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.12 (s, 1H), 8.36 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.9 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.0 Hz, 1H), 7.34 – 7.29 (m, 2H), 7.02 (t, *J* = 7.3 Hz, 1H), 6.96 (t, *J* = 7.5 Hz, 2H), 6.77 (d, *J* = 7.5 Hz, 2H), 6.71 (s, 1H), 6.65 (d, *J* = 8.2 Hz, 1H), 6.47 (d, *J* = 6.2 Hz, 1H), 6.42 (s, 1H), 3.80 (s, 3H), 3.75 (s, 3H), 3.26 (s, 3H), 3.22 (m, 4H), 3.12 (d, *J* = 13.0 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.3, 152.9, 149.8, 148.8, 148.7, 138.4, 135.1, 134.4, 133.2, 131.1, 128.9, 128.7, 128.4, 128.2, 128.0, 126.9, 125.8, 125.2, 123.5, 121.3, 119.1, 115.3, 111.9, 110.8, 64.2, 55.8, 55.7, 43.6, 29.0, 28.9. **FTIR** (KBr) cm^{-1}: 3430.20, 2926.40, 1685.03, 1446.88, 1516.08, 1380.19, 1266.06, 1146.58, 1026.5, 753.24. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{33}H_{30}N_2NaO_6$: 573.1996; found 573.1979. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 42.809 min, t (minor) = 47.986 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +112.72 (c = 1.21, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-5-(naphthalen-2-ylmethyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3an)**: **Physical properties:** Yellow sticky solid; **Yield:** 99%, 53.4 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.87 (s, 1H), 8.83 (d, *J* = 8.5 Hz, 1H), 7.93 (d, *J* = 8.8 Hz, 1H), 7.85 – 7.73 (m, 4H), 7.61 (t, *J* = 7.0 Hz, 1H), 7.51 (t, *J* = 6.9 Hz, 1H), 7.46 (t, *J* = 6.9 Hz, 1H), 7.41 – 7.38 (m, 2H), 7.31 – 7.28 (m, 1H), 7.08 – 7.04 (m, 2H), 7.01 (t, *J* = 7.4 Hz, 2H), 6.84 (d, *J* = 7.1 Hz, 2H), 6.66 (s, 1H), 3.74 (d, *J* = 13.6 Hz, 1H), 3.57 (d, *J* = 13.6 Hz, 1H), 2.91 (s, 3H), 2.86 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 176.2, 171.7, 153.3, 149.4, 139.8, 135.1, 134.8, 133.9, 133.6, 131.5, 131.2, 129.7, 129.1, 129.0, 128.9, 128.7, 128.3, 128.2, 128.0, 127.8, 127.1, 126.5, 126.0 125.4, 124.5, 123.5, 123.2, 119.3, 115.2, 64.5, 40.6, 28.9, 28.7. **FTIR** (KBr) cm^{-1} 3433.33, 2926.01, 1690.61, 1658.07, 1291.48, 1444.89, 1224.60, 1150.86, 751.05, 688.43. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{35}H_{28}N_2NaO_4$: 563.1941; found 563.1929. **HPLC**: The enantiomeric excess was determined to be 98% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 37.325 min, t (minor) = 23.423 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +89.92 (c = 1.33, $CHCl_3$).

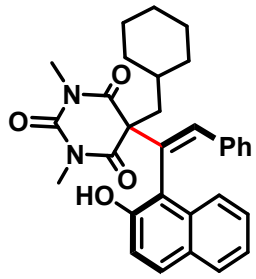
(E)-5-(anthracen-9-ylmethyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ao): Physical properties:** Yellow sticky solid; **Yield:** 83%, 48.9 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 9.00 (d, *J* = 8.6 Hz, 1H), 8.03 (s, 1H), 7.89 (d, *J* = 8.9 Hz, 1H), 7.81 (d, *J* = 8.7 Hz, 1H), 7.71 – 7.60 (m, 5H), 7.39 – 7.16 (m, 6H), 6.95 – 6.88 (m, 3H), 6.75 (d, *J* = 7.0 Hz, 2H), 6.47 (s, 1H), 4.01 – 3.92 (m, 2H), 2.25 (s, 3H), 2.14 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.7, 171.3, 153.3, 148.8, 140.8, 135.0, 134.5, 134.1, 131.1, 130.6, 129.0, 128.7, 128.2, 128.2, 127.4, 125.3, 124.9, 124.6, 123.6, 119.4, 115.3, 64.1, 34.8, 28.8, 28.4. **FTIR** (KBr) cm^{-1}: 3441.16, 2925.71, 1689.47, 1660.44, 1446.60, 1378.15, 1287.12, 1226.88, 1145.94, 896.91, 825.45, 753.24. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{39}H_{30}N_2NaO_4$: 613.2103; found 613.2100. **HPLC**: The enantiomeric excess was determined to be 98% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 21.510 min, t (minor) = 27.663 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -3.98 (c = 3.47, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethyl-5-(thiophen-2-ylmethyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3ap): Physical properties:** Light yellow sticky solid; **Yield:** 99%, 49 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.79 (s, 1H), 8.22 (d, *J* = 8.5 Hz, 1H), 7.75 (d, *J* = 8.8 Hz, 1H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.38 – 7.35 (m, 1H), 7.24 – 7.17 (m, 2H), 7.12 (d, *J* = 1.0 Hz, 1H), 6.93 (t, *J* = 7.3 Hz, 1H), 6.87 (t, *J* = 7.5 Hz, 2H), 6.70 – 6.67 (m, 3H), 6.10 (dd, *J* = 3.3, 1.9 Hz, 1H), 5.86 (d, *J* = 3.2 Hz, 1H), 3.27 (d, *J* = 14.3 Hz, 1H), 3.22 (s, 3H), 3.19 (s, 3H), 3.17 (d, *J* = 14.5 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.9, 171.0, 152.9, 150.2, 148.6, 142.6, 138.4, 134.4, 134.2, 133.1, 131.2, 128.9, 128.7, 128.4, 128.2, 128.0, 127.0, 125.1, 123.6, 119.2, 115.1, 110.7, 108.9, 62.2, 36.5, 29.2, 29.1. **FTIR** (KBr) cm^{-1}: 3408.65, 2923.32, 1664.08, 1457.07, 1374.74, 1268.60, 1077.67, 749.89**. HRMS ESI**: $[M+Na]^+$, Calcd for $C_{29}H_{24}N_2O_4NaS$: 519.1354; found 519.1344. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm, t (major) = 20.414 min, t (minor) = 23.579 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +158.42 (c = 0.76, $CHCl_3$).

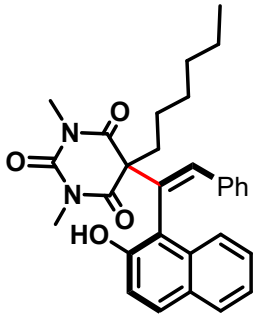
(E)-5-(furan-2-ylmethyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3aq): Physical properties:** White sticky solid; **Yield:** 99%, 47.5 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.88 (s, 1H), 8.29 (d, *J* = 8.5 Hz, 1H), 7.83 (d, *J* = 8.9 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H),

7.44 (t, J = 7.7 Hz, 1H), 7.32 – 7.20 (m, 2H), 7.20 (s, 1H), 7.02 (t, J = 7.3 Hz, 1H), 6.96 (t, J = 7.6 Hz, 2H), 6.78 – 6.74 (m, 3H), 6.19 – 6.18 (m, 1H), 5.94 (d, J = 3.2 Hz, 1H), 3.34 (d, J = 14.3 Hz, 1H), 3.30 (s, 3H), 3.28 (s, 3H), 3.25 (d, J = 14.2 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.0, 171.0, 152.9, 150.2, 148.5, 142.6, 138.4, 134.4, 134.2, 133.1, 131.2, 128.9, 128.7, 128.4, 128.2, 128.0, 127.0, 125.1, 123.6, 119.2, 115.0, 110.7, 108.9, 62.2, 36.5, 29.2, 29.1. **FTIR** (KBr) cm^{-1}: 3414.56, 2924.70, 1685.2, 1665.17, 1505.91, 1449.4, 1427.33, 1377.93, 1146.57, 1102.31, 818.95, 751.65, 691.05 cm^{-1}; **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{29}H_{24}N_2NaO_5$: 503.1577; found 503.1566. **HPLC**: The enantiomeric excess was determined to be 96% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 37.355 min, t (minor) = 22.455 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +54.22 (c = 1.81, $CHCl_3$).

(E)-5-(cyclohexylmethyl)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ar): Physical properties:** Off White sticky solid; **Yield:** 81%, 40 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.95 (s, 1H), 7.90 (d, J = 8.5 Hz, 1H), 7.79 (d, J = 8.8 Hz, 1H), 7.73 (d, J = 7.9 Hz, 1H), 7.39 – 7.35 (m, 1H), 7.29 – 7.26 (m, 1H), 7.21 (d, J = 8.9 Hz, 1H), 7.03 – 6.98 (m, 1H), 6.97 – 6.92 (m, 2H), 6.84 (s, 1H), 6.76 – 6.73 (m, 2H), 3.37 (s, 3H), 3.28 (s, 3H), 2.17 – 2.05 (m, 2H), 1.60 – 1.50 (m, 3H), 1.36 – 1.22 (m, 2H), 1.11 – 0.96 (m, 4H), 0.89 – 0.77 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.4, 171.1, 152.4, 150.6, 137.1, 135.4, 134.4, 132.8, 130.9, 128.9, 128.6, 128.3, 128.2, 127.9, 126.8, 124.9, 123.6, 118.8, 115.6, 60.7, 44.1, 34.8, 33.8, 33.6, 29.2, 29.1, 26.1, 26.0, 25.7. **FTIR** (KBr) cm^{-1}: 3356.23, 2926.44, 1665.99, 1459.66, 1372.77, 1270.50, 1041.94, 815.33, 749.81, 696.18. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{32}N_2NaO_4$: 519.2254; found 519.2262. **HPLC**: The enantiomeric excess was determined to be 75% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 15.745 min, t (minor) = 13.518 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +19.23 (c = 3.38, $CHCl_3$).



(E)-5-hexyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3as): Physical properties:** Off White sticky solid; **Yield:** 71%, 34 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.94 (s, 1H), 7.89 (d, J = 8.5 Hz, 1H), 7.72 (d, J = 8.8 Hz, 1H), 7.67 (d, J = 8.1 Hz, 1H), 7.32 – 7.29 (m, 1H), 7.21 – 7.19 (m, 1H), 7.14 (d, J = 8.9 Hz, 1H), 6.94 (t, J = 7.3 Hz,



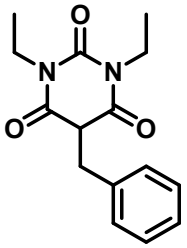
1H), 6.88 (t, *J* = 7.5 Hz, 2H), 6.75 (s, 1H), 6.69 (d, *J* = 7.3 Hz, 2H), 3.31 (s, 3H), 3.21 (s, 3H), 2.11 – 2.05 (m, 1H), 2.01 – 1.95 (m, 1H), 1.10 – 1.00 (m, 6H), 0.94 – 0.90 (m, 2H), 0.71 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.5, 171.3, 152.4, 150.6 137.5, 134.7, 134.5, 132.8, 130.9, 128.9, 128.7, 128.4, 128.2, 128.0, 126.8, 124.9, 123.6, 118.8, 115.6, 62.3 37.3, 31.4, 29.1, 29.1, 25.1, 22.4, 13.9. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{30}H_{33}N_2O_4$: 485.2428; found 485.2440. **HPLC**: The enantiomeric excess was determined to be 49% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 16.059 min, t (minor) = 11.938 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -12.5 (c = 0.2, $CHCl_3$).

(E)-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-5-isopentyl-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3at): Physical properties:** White sticky solid; **Yield:** 77%, 35 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.1 Hz, 1H), 7.77 (s, 1H), 7.71 (d, *J* = 8.9 Hz, 1H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.30 (t, *J* = 8.4 Hz, 1H), 7.22 – 7.18 (m, 1H), 7.13 (d, *J* = 8.9 Hz, 1H), 6.94 (t, *J* = 7.3 Hz, 1H), 6.88 (t, *J* = 7.5 Hz, 2H), 6.80 (s, 1H), 6.70 (d, *J* = 7.3 Hz, 2H), 3.28 (s, 3H), 3.16 (s, 3H), 2.13 (td, *J* = 12.0, 11.4, 5.1 Hz, 1H), 2.02 (td, *J* = 12.6, 12.1, 5.1 Hz, 1H), 1.27 (hept, *J* = 6.7 Hz, 1H), 0.88 – 0.74(m, 2H), 0.66 (d, *J* = 6.7 Hz, 3H), 0.63 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 174.1, 171.2, 152.2, 150.5, 137.4, 134.4, 134.3, 132.7, 130.9, 128.9, 128.6, 128.4, 128.2, 127.9, 126.7, 124.8, 123.6, 118.7, 115.6, 62.2, 35.2, 33.8, 29.0, 29.0, 27.9, 22.3, 22.1. **HRMS ESI:** $[M+H]^+$, Calcd for $C_{29}H_{31}N_2O_4$: 471.2284; found 471.2270. HPLC: The enantiomeric excess was determined to be 64% [determined by HPLC, Chiralpak, hexane:isopropanol = 98:2, 0.5 mL/min, λ = 254 nm, t (major) = 23.972 min, t (minor) = 26.438 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -21.61 (c = 2.85, $CHCl_3$).

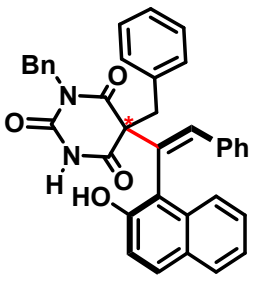
(E)-5-benzyl-1,3-diethyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)pyrimidine-2,4,6(1H,3H,5H)-trione**(3au): Physical properties:** White sticky solid; **Yield:** 98%, 50.7 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.21 (s, 1H), 8.29 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.47 (t, *J* = 7.7 Hz, 1H), 7.32 (t, *J* = 8.8 Hz, 2H), 7.19 -

7.13 (m, 3H), 7.04 – 6.96 (m, 5H), 6.74 (d, *J* = 7.6 Hz, 2H), 6.66 (s, 1H), 3.98 - 3.85 (m, 4H), 3.29 (d, *J* = 12.8 Hz, 1H), 3.17 (d, *J* = 12.6 Hz, 1H), 1.11 (t, *J* = 7.1 Hz, 6H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.2, 170.7, 153.0, 149.0, 137.6, 135.8, 134.3, 133.3, 133.0, 131.1, 129.5, 128.8, 128.6, 128.5, 128.4, 128.2, 127.9, 127.9, 126.9, 125.1, 123.5, 119.2, 115.3, 63.0, 43.2, 38.1, 37.8, 13.0, 12.9. **HRMS ESI:** $[M+H]^+$, Calcd for $C_{33}H_{31}N_2O_4$: 519.2284; found 519.2273. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 17.336 min, t (minor) = 16.075 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -3.24 (c = 0.11, $CHCl_3$).

5-benzyl-1,3-diethylpyrimidine-2,4,6(1H,3H,5H)-trione **(2u): Physical properties:** White sticky solid; **Yield:** 97%, 26.5 mg. **^{1}H NMR** (399 MHz, $CDCl_3$) δ 7.20 – 7.17 (m, 3H), 7.04 – 7.02 (m, 2H), 3.83 – 3.68 (m, 5H), 3.46 (d, *J* = 4.8 Hz, 2H), 1.02 (t, *J* = 7.1 Hz, 6H).**^{13}C NMR** ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 150.2, 135.0, 129.2, 128.5, 127.7, 50.2, 37.7, 37.0, 13.0. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{15}H_{19}N_2O_3$: 275.1396; found 275.1395.



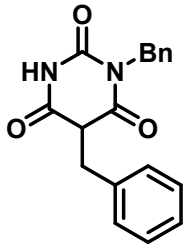
(E)-1,3,5-tribenzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3av): Physical properties:** White sticky solid; **Yield:** 99%, 63.5 mg. **^{1}H NMR** (399 MHz, CHLOROFORM-*D*) δ 8.82 (s, 1H), 8.22 (d, *J* = 9.5 Hz, 1H), 7.84 (d, *J* = 8.8 Hz, 1H), 7.77 (d, *J* = 8.6 Hz, 1H), 7.48– 7.44 (m, 1H), 7.34 – 7.27 (m, 12H), 7.04 – 6.99 (m, 2H), 6.93 (t, *J* = 7.5 Hz, 2H), 6.79 (t, *J* = 7.8 Hz, 2H), 6.70 - 6.69 (m, 2H), 6.57- 6.55 (m, 3H), 5.11 (d, *J* = 14.0 Hz, 1H), 5.05 (d, *J* = 14.0 Hz, 1H), 4.94 (d, *J* = 12.2 Hz, 1H), 4.91 (d, *J* = 12.2 Hz, 1H), 3.30 (d, *J* = 12.8 Hz, 1H), 3.18 (d, *J* = 12.8 Hz, 1H).**^{13}C NMR** (100 MHz, CHLOROFORM-*D*) δ 175.1, 170.5, 152.9, 149.8, 137.8, 135.8, 135.7, 135.3, 134.3, 133.3, 133.2, 131.2, 129.5, 129.3, 129.0, 128.9, 128.6, 128.6, 128.6, 128.5, 128.4, 128.1, 128.1, 128.0, 128.0, 127.5, 127.0, 125.2, 123.6, 119.2, 115.4, 63.3, 45.9, 45.5, 42.7. **HRMS ESI:** $[M+H]^+$, Calcd for $C_{43}H_{35}N_2O_4$: 643.2597; found 643.2599. **HPLC:** The enantiomeric excess was determined to be 98% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 80:20, 0.5 mL/min, λ = 254 nm, t (major) = 23.679 min, t (minor) = 15.490 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -34.75 (c = 0.08, $CHCl_3$).



(R,E)-1,5-dibenzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)pyrimidine-2,4,6(1H,3H,5H)-trione **(3aw): Physical**

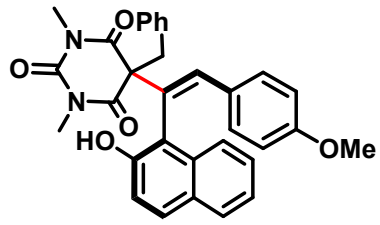
properties: White sticky solid; **Yield:** 41%, 22.6 mg. **^{1}H NMR** (399 MHz, $CDCl_3$) δ 8.61 (s, 1H), 8.34 (s, 1H), 8.23 (d, *J* = 9.0 Hz, 1H), 7.85 (d, *J* = 8.7 Hz, 1H), 7.77 (d, *J* = 8.1 Hz, 1H), 7.49 – 7.45 (m, 1H), 7.40 – 7.37 (m, 2H), 7.36 – 7.32 (m, 4H), 7.31 – 7.27 (m, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.05 – 6.99 (m, 3H), 6.96 – 6.88 (m, 4H), 6.65 – 6.62 (m, 3H), 5.09 (d, *J* = 14.0 Hz, 1H), 4.89 (d, *J* = 14.0 Hz, 1H), 3.28 (d, *J* = 13.0 Hz, 1H), 3.21 (d, *J* = 12.9 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 176.1, 170.3, 152.8, 148.5, 138.4, 135.1, 135.0, 134.3, 133.1, 131.2, 129.4, 129.0, 128.7, 128.7, 128.6, 128.5, 128.3, 128.2, 128.0, 127.8, 127.1, 125.2, 123.7, 119.1, 115.2, 63.7, 45.2, 42.6. **HRMS ESI:** $[M+H]^+$, Calcd for $C_{36}H_{29}N_2O_4$: 553.2127; found 553.2130. The diastereomeric ratio was determined to be 44:56 [determined by crude **^{1}H NMR**]. **HPLC:** The enantiomeric excess was determined to be 40% [determined by HPLC, Chiralpak, hexane:isopropanol = 85:15, 1 mL/min, λ = 254 nm, t (major) = 12.619 min, t (minor) = 8.868 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -12.29 (c = 0.10, $CHCl_3$).

1,5-dibenzylpyrimidine-2,4,6(1H,3H,5H)-trione **(2w): Physical properties:** light yellow sticky solid; **Yield:** 95%, 29.2 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.32 (s, 1H), 7.26 (s, 5H),



7.15 (t, *J* = 7.3 Hz, 1H), 7.07 (t, *J* = 7.4 Hz, 2H), 6.98 (d, *J* = 7.2 Hz, 2H), 4.87 (s, 2H), 3.69 (t, *J* = 4.8 Hz, 1H), 3.44 (d, *J* = 4.8 Hz, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 168.4, 150.0, 150.0, 135.5, 135.0, 129.7, 129.3, 129.1, 129.0, 128.8, 128.4, 127.9, 127.7, 49.6, 44.1, 36.0. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{18}H_{17}N_2O_3$: 309.1239; found 309.1243. **HPLC**: The enantiomeric excess was determined to be 94% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 50:50, 1 mL/min, λ = 254 nm, t (major) = 9.611 min, t (minor) = 8.389 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +1.83 (c = 0.15, $CHCl_3$).

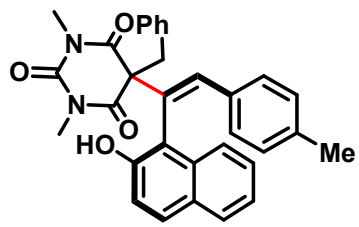
(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-(4-methoxyphenyl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3bb):**



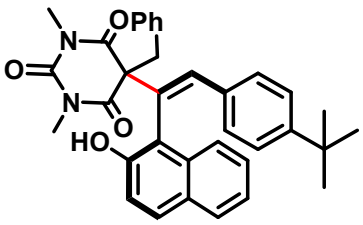
Physical properties: Yellow sticky solid; **Yield:** 92%, 48.4 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.22 (s, 1H), 8.45 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.49 (t, *J* = 7.7 Hz, 1H), 7.35 – 7.31 (m, 2H), 7.20 – 7.16 (m, 3H), 6.91 – 6.89 (m, 2H), 6.69 (d, *J* = 8.9 Hz, 2H), 6.62 (s, 1H), 6.49 (d, *J* = 8.9 Hz, 2H), 3.62 (s, 3H), 3.23 (d, *J* = 12.9 Hz, 1H), 3.21 (s, 3H), 3.20 (s, 3H), 3.13 (d, *J* = 12.7 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.7, 171.4, 159.5, 153.1, 149.8, 138.0, 133.7, 133.4, 132.3,

131.0, 130.3, 129.0, 128.9, 128.5, 128.1, 128.0, 127.3, 126.9, 125.4, 123.5, 119.2, 115.5, 113.7, 64.3, 55.0, 44.2, 28.9, 28.8. **FTIR** (KBr)cm^{-1}: 3358.07, 2925.58, 1692, 1662.62, 1460.61, 1373.21, 1255.02, 1032.76, 816.81, 748.81. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{28}N_2NaO_5$: 543.1896; found 543.1895. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 41.405 min, t (minor) = 34.187 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +2.10 (c = 4.29, $CHCl_3$).

(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-(p-tolyl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3cb): Physical properties:** Off white sticky solid; **Yield:** 92%, 46.5 mg. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.23 (s, 1H), 8.46 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.79 (d, *J* = 8.2 Hz, 1H), 7.49 (t, *J* = 8.4 Hz, 1H), 7.35 – 7.31(m, 2H), 7.21 – 7.16 (m, 3H), 6.92 – 6.90 (m, 2H), 6.78 (d, *J* = 8.0 Hz, 2H), 6.67 – 6.65 (m, 3H), 3.25 (d, *J* = 12.8 Hz, 1H), 3.22 (s, 3H), 3.21 (s, 3H), 3.14 (d, *J* = 12.8 Hz, 1H), 2.13 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.4, 153.0, 149.8, 138.6, 138.4, 133.8, 133.6, 133.3, 131.7, 131.0, 128.9, 128.9, 128.8, 128.7, 128.5, 128.1, 127.9, 126.9, 125.3, 123.5, 119.2, 115.4, 64.2, 44.2, 28.9, 28.8, 21.1. **FTIR** (KBr) cm^{-1}: 3368.16, 2925.04, 1695.2, 1460.22, 1373.01, 1269.53, 1031.51, 808.44, 749.28, 702.89. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{28}N_2NaO_4$: 527.1941; found 527.1938. **HPLC**: The enantiomeric excess was determined to be 96% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 25.455 min, t (minor) = 22.559 min] **Optical Rotation:** $[\alpha]^{25}_D$ = +28.22 (c = 2.71, $CHCl_3$).

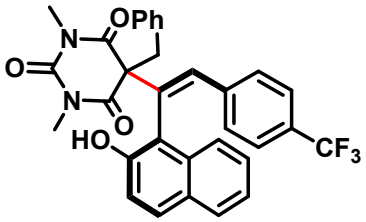


(E)-5-benzyl-5-(2-(4-(tert-butyl)phenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3db): Physical properties:** Pale yellow sticky solid; **Yield:** 93%, 51 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.18 (s, 1H), 8.51 (d, *J* = 8.8 Hz, 1H), 7.89 (d, *J* = 8.9 Hz, 1H), 7.82 (d, *J* = 8.1 Hz, 1H), 7.52 (t, *J* = 8.4 Hz, 1H), 7.38 – 7.32 (m, 2H), 7.21 – 7.16 (m, 3H), 7.00 (d, *J* = 8.6 Hz, 2H), 6.90 (d, *J* = 7.9 Hz, 2H), 6.69 (d, *J* = 8.7 Hz, 2H), 6.64 (s, 1H), 3.23 – 3.21 (m, 4H), 3.20 (s, 3H), 3.14 (d, *J* = 12.7 Hz, 1H), 1.14 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.3, 152.8, 151.6, 149.8, 138.4, 133.7, 133.5, 131.6, 131.0, 129.0, 128.9, 128.7, 128.5, 128.1, 128.0, 127.0, 125.4, 125.3, 123.5, 119.3, 115.5, 64.4, 44.2, 34.5, 31.0, 28.9, 28.8. **FTIR** (KBr) cm^{-1}: 3433.13, 2961.05, 1746.34, 1690.90, 1657.41, 1454.09, 1378.52, 1266.66,

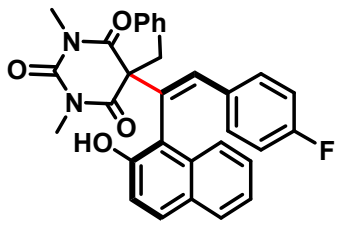


1228.53, 1106.74, 821.14, 752.46, 701.77. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{35}H_{34}N_2NaO_4$: 569.2411; found 569.2404. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 17.430 min, t (minor) = 13.043 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = -10.66 (c = 3.32, $CHCl_3$).

(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-(4-(trifluoromethyl)phenyl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3eb): Physical properties:** White sticky solid; **Yield:** 95%, 53.2 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.31 (s, 1H), 8.46 (d, *J* = 8.5 Hz, 1H), 7.87 (d, *J* = 8.9 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.36 – 7.29 (m, 2H), 7.23 – 7.19 (m, 5H), 6.91 – 6.89 (m, 4H), 6.74 (s, 1H), 3.24 (d, *J* = 12.8 Hz, 1H), 3.21 (s, 3H), 3.21 (s, 3H), 3.16 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.4, 171.2, 153.0, 149.6, 138.1, 138.0, 137.3, 133.3, 133.2, 131.5, 128.9, 128.8, 128.6 128.4, 128.2, 127.2, 125.1, 125.1, 124.9, 123.7, 119.3, 114.7, 64.4, 44.5, 29.0, 28.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -62.9. **FTIR** (KBr) cm^{-1}: 3379.34, 2925.50, 1661.08, 1507.42, 1458.78, 1374.74, 1324.53, 1126.77, 1068.17, 818.83, 750.78. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{32}H_{25}F_3N_2NaO_4$: 581.1654; found 581.1650. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 27.858 min, t (minor) = 32.715 min]. **Optical Rotation:** $[\alpha]^{25}_D$ = +18.30 (c = 4.23, $CHCl_3$).



(E)-5-benzyl-5-(2-(4-fluorophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3fb):Physical properties:** White sticky solid; **Yield:** 98%, 50 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.30 (s, 1H), 8.45 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.50 (t, *J* = 7.0 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.22 – 7.17 (m, 3H), 6.90 (d, *J* = 5.3 Hz, 2H), 6.78 – 6.75 (m, 2H), 6.67 – 6.63 (m, 3H), 3.24 (d, *J* = 12.9 Hz, 1H), 3.21 (s, 3H), 3.20 (s, 3H), 3.14 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.3, 163.3, 161.3, 153.1, 149.7, 137.4, 135.0, 133.5, 131.2, 130.8, 130.8, 130.5, 130.4, 129.0, 128.9, 128.5, 128.2, 128.0, 127.0, 125.1, 123.6, 119.2, 115.3, 115.1, 115.0, 64.3, 44.4, 28.9, 28.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -112.2. **FTIR** (KBr) cm^{-1}: 3360.53, 2925.67, 1663.69, 1506.54, 1460.07, 1372.68, 1269.51, 1220.61, 1159.39, 1032.25, 814.75, 749.65. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}FN_2NaO_4$: 531.1690; found 531.1707. **HPLC**: The enantiomeric excess was determined to be 97%



[determined by HPLC, Chiralpak IB, hexane:isopropanol = 95:5 0.5 mL/min, λ = 254 nm, t (major) = 17.616 min, t (minor) = 22.529 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +182.82 (c = 0.68, $CHCl_3$).

(E)-5-benzyl-5-(2-(4-bromophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3gb): Physical properties:** Yellow sticky solid; **Yield:** 92%, 52.3 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.20 (s, 1H), 8.34 (d, *J* = 8.5 Hz, 1H), 7.76 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.7 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.26 – 7.17 (m, 2H), 7.13 – 7.07 (m, 3H), 6.99 (d, *J* = 8.5 Hz, 2H), 6.80 (d, *J* = 7.7 Hz, 2H), 6.56 (d, *J* = 8.2 Hz, 3H), 3.14 (d, *J* = 12.8 Hz, 1H), 3.11 (s, 3H), 3.11 (s, 3H), 3.05 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.4, 171.2, 153.0, 149.6, 137.5, 136.2, 133.5, 133.4, 133.1, 131.3, 130.1, 128.9, 128.8, 128.5, 128.3, 128.1, 127.1, 125.0, 123.6, 122.5, 119.2, 114.8, 64.3, 44.4, 28.9, 28.8. **FTIR** (KBr) cm^{-1}: 3357.78, 2926.83, 1662.62, 1460.91, 1374.10, 1269.05, 1109.16, 1162.59, 1074.79, 750.03, 703.42. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}BrN_2NaO_4$: 591.0889; found 591.0860. **HPLC**: The enantiomeric excess was determined to be 98% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5mL/min, λ = 254 nm, t (major) = 40.940 min, t (minor) = 46.548 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +153.58 (c = 0.53, $CHCl_3$).

(E)-5-benzyl-5-(2-(4-chlorophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3hb)**: **Physical properties:** Off white sticky solid; **Yield:** 95%, 49.6 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.20 (s, 1H), 8.34 (d, *J* = 8.2 Hz, 1H), 7.76 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.13 – 7.07 (m, 3H), 6.85 – 6.80 (m, 4H), 6.62 (d, *J* = 8.7 Hz, 2H), 6.57 (s, 1H), 3.14 (d, *J* = 12.7 Hz, 1H), 3.12 (s, 3H), 3.11 (s, 3H), 3.05 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.5, 171.2, 153.1, 149.6, 137.4, 136.0, 134.1, 133.4, 133.2, 133.1, 131.3, 129.9, 128.9, 128.9, 128.5, 128.4, 128.3, 128.1, 127.1, 125.1, 123.6, 119.2, 114.9, 64.3, 44.4, 28.9, 28.8. **FTIR** (KBr) cm^{-1}: 3358.93, 2926.32, 1663.02, 1460.67, 1374.46, 1269.25, 1107.53, 1031.92, 811.93, 750.36, 703.68. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}ClN_2NaO_4$: 547.1398; found 547.1401. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC,

Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 18.998 min, t (minor) = 22.141 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +5.40 (c = 4.58, $CHCl_3$).

(E)-5-benzyl-5-(2-(3-fluorophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3ib): Physical properties:** Light yellow sticky solid; **Yield:** 96%, 48.9 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.30 (s, 1H), 8.44 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.78 (d, *J* = 6.9 Hz, 1H), 7.49 (t, *J* = 7.0 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.21 – 7.17 (m, 3H), 6.91 – 6.89 (m, 2H), 6.76 (dd, *J* = 8.8, 5.6 Hz, 2H), 6.67 – 6.63 (m, 3H), 3.24 (d, *J* = 12.8 Hz, 1H), 3.21 (s, 3H), 3.20 (s, 3H), 3.14 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.6, 171.3, 163.3, 161.3, 153.1, 149.7, 137.4, 135.0, 133.5, 133.2, 131.2, 130.8, 130.5, 130.4, 129.0, 128.9, 128.5, 128.2, 128.0, 127.0, 125.1, 123.6, 119.2, 115.3, 115.1, 115.0, 64.3, 44.4, 28.9, 28.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ -113.1. **FTIR** (KBr) cm^{-1}: 3371.59, 2938.84, 1747.03, 1692.25, 1580.97, 1373.93, 1269.53, 1031.87, 958.68, 811.23, 785.64. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}FN_2NaO_4$: 531.1690; found 531.1685. **HPLC**: The enantiomeric excess was determined to be 93% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm, t (major) = 16.989 min, t (minor) = 19.626 min]. **HRMS ESI**: [M+Na]+, Calcd for $C_{31}H_{25}FN_2NaO_4$: 531.1690; found 531.1685. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +88.53 (c = 1.43, $CHCl_3$).

(E)-5-benzyl-5-(2-(3-chlorophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3jb)**: **Physical properties:** Yellow sticky solid; **Yield:** 96%, 50 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.23 (s, 1H), 8.35 (d, *J* = 8.5 Hz, 1H), 7.77 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.42 – 7.39 (m, 1H), 7.24 – 7.16 (m, 2H), 7.13 – 7.07 (m, 3H), 6.89 (d, *J* = 8.0 Hz, 1H), 6.80 (d, *J* = 7.4 Hz, 2H), 6.76 – 6.73 (m, 2H), 6.55 (s, 1H), 6.51 (d, *J* = 8.0 Hz, 1H), 3.15 (d, *J* = 12.9 Hz, 1H), 3.12 (s, 3H), 3.11 (s, 3H), 3.06 (d, *J* = 12.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.5, 171.2, 153.0, 149.6, 137.3, 137.1, 136.3, 133.9, 133.4, 133.2, 131.4, 129.4, 129.0, 128.9, 128.8, 128.5, 128.3, 128.0, 127.1, 126.3, 125.0, 123.6, 119.2, 114.7, 64.3, 44.4, 29.0, 28.8. **FTIR** (KBr) cm^{-1}: 3377.79, 2926.65, 1690.74, 1661.97, 1455.94, 1374.99, 1270.18, 1107.39, 960.57, 751.05, 703.91. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}ClN_2NaO_4$:

547.1395; found 547.1386. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5mL/min, λ = 254 nm, t (major) = 17.979 min, t (minor) = 20.630 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +27.2 (c = 4.68, $CHCl_3$).

(E)-5-benzyl-5-(2-(2-chlorophenyl)-1-(2-hydroxynaphthalen-1-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3kb)**: **Physical properties:** Light yellow sticky solid; **Yield:** 86%, 45 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.18 (s, 1H), 8.31 (d, *J* = 8.5 Hz, 1H), 7.66 (d, *J* = 8.9 Hz, 1H), 7.60 (d, *J* = 6.9 Hz, 1H), 7.42 (t, *J* = 8.4 Hz, 1H), 7.21 – 7.06 (m, 6H), 6.94 (s, 1H), 6.85 – 6.81 (m, 3H), 6.69 (d, *J* = 6.1 Hz, 1H), 6.59 (t, *J* = 7.6 Hz, 1H), 3.19 (d, *J* = 12.8 Hz, 1H), 3.16 (s, 3H), 3.14 (s, 3H), 3.09 55(d, *J* = 12.9 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.4, 170.9, 153.5, 149.7, 138.0, 136.0, 133.6, 133.5, 133.4, 133.0, 131.0, 129.2, 129.0, 129.0, 128.8, 128.6, 128.5, 128.2, 127.9, 126.9, 126.3, 125.2, 123.4, 118.8, 114.6, 63.8, 43.7, 28.9, 28.8. **FTIR** (KBr) cm^{-1}: 3402.60, 2925.76, 1692.88, 1505.69, 1461.23, 1269.84, 1032.86, 812.74, 750.21, 597.81. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}ClN_2NaO_4$: 547.1395; found 547.1409. **HPLC**: The enantiomeric excess was determined to be 92% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 13.098 min, t (minor) = 15.546 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +92.81 (c = 4.23, $CHCl_3$).

(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-2-(thiophen-2-yl)vinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3lb)**: **Physical properties:** Yellow sticky solid; **Yield:** 88%, 43.8 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.23 (s, 1H), 8.52 (d, *J* = 8.3 Hz, 1H), 7.95 (d, *J* = 8.9 Hz, 1H), 7.83 (d, *J* = 8.1 Hz, 1H), 7.52 (t, *J* = 7.0 Hz, 1H), 7.39 – 7.35 (m, 2H), 7.21 – 7.17 (m, 3H), 6.95 (d, *J* = 5.0 Hz, 1H), 6.91 – 6.92 (m, 3H), 6.87 (d, *J* = 2.4 Hz, 1H), 6.75 (dd, *J* = 5.0, 3.7 Hz, 1H), 3.27 – 3.21 (m, 5H), 3.20 (s, 3H).**^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.5, 171.2, 153.6, 149.7, 138.0, 134.0, 133.6, 131.9, 131.7, 131.6, 130.6, 129.5, 129.4, 128.9, 128.5, 128.2, 128.0, 127.2, 125.8, 124.7, 123.6, 119.8, 114.3, 63.9, 44.7, 29.0, 28.8. **FTIR** (KBr) cm^{-1}: 3426.12, 2925.83, 1744.60, 1688.36, 1657.36, 1438.76, 1379.44, 1230.76, 1106.05, 1023.06, 820.64, 751.43, 706.51. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{29}H_{24}N_2NaSO_4$: 519.1354; found 519.1348. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5

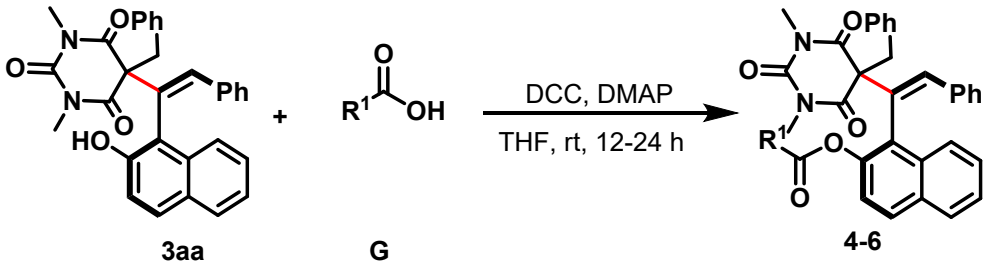
mL/min, λ = 254 nm, t (major) = 59.504 min, t (minor) = 45.060 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = +29.14 (c = 3.65, $CHCl_3$).

(E)-5-benzyl-5-(1-(6-bromo-2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3mb): Physical properties:** White sticky solid; **Yield:** 98%, 55.8 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.46 (s, 1H), 8.35 (d, *J* = 9.1 Hz, 1H), 7.91 (s, 1H), 7.75 (d, *J* = 8.9 Hz, 1H), 7.53 (d, *J* = 9.1 Hz, 1H), 7.32 (d, *J* = 8.9 Hz, 1H), 7.22 – 7.17 (m, 3H), 7.04 (t, *J* = 7.3 Hz, 1H), 6.98 (t, *J* = 7.6 Hz, 2H), 6.88 (d, *J* = 5.5 Hz, 2H), 6.76 (d, *J* = 7.3 Hz, 2H), 6.67 (s, 1H), 3.21 (s, 6H), 3.19 (d, *J* = 12.7 Hz, 1H), 3.04 (d, *J* = 12.5 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 175.7, 171.3, 153.5, 149.6, 139.1, 134.6, 134.2, 133.2, 131.8, 130.1, 130.1, 130.1, 129.8, 128.7, 128.6, 128.5, 128.3, 128.2, 127.2, 120.4, 117.3, 115.4, 64.1, 44.4, 29.0, 28.8. **FTIR** (KBr) cm^{-1}: 3443.91, 2925.60, 1688.58, 1655.01, 1446.47, 1378.25, 1229.76, 752.32, 698.25. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{25}BrN_2NaO_4$: 591.0889; found 591.0891. **HPLC**: The enantiomeric excess was determined to be 95% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 32.864 min, t (minor) = 25.723 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = -9.98 (c = 4.15, $CHCl_3$).

(E)-5-benzyl-5-(1-(2-hydroxynaphthalen-1-yl)-3,3-dimethylbut-1-en-1-yl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(3nb): Physical properties:** White sticky solid; **Yield:** 95%, 44.7 mg. **^{1}H NMR** (399 MHz, $CDCl_3$) δ 9.14 (s, 1H), 8.58 (d, *J* = 8.3 Hz, 1H), 7.80-7.75 (m, 2H), 7.60 – 7.57 (m, 1H), 7.37 – 7.33 (m, 1H), 7.23 (d, *J* = 8.8 Hz, 1H), 7.18 – 7.12 (m, 3H), 6.85-6.82 (m, 2H), 5.66 (s, 1H), 3.16 (s, 6H), 3.02 (d, *J* = 12.9 Hz, 1H), 2.98 (d, *J* = 12.8 Hz, 1H), 0.71 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 176.3, 171.8, 153.1, 150.4, 135.2, 133.9, 131.9, 130.8, 128.9, 128.6, 128.5, 128.2, 127.9, 126.6, 126.4, 123.3, 119.0, 115.9, 64.5, 44.4, 34.9, 29.2, 29.0, 28.8. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{29}H_{31}N_2O_4$: 471.2284; found 471.2278. **HPLC**: The enantiomeric excess was determined to be 99% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 80:20, 0.5 mL/min, λ = 254 nm, t (major) = 5.180 min, t (minor) = 6.179 min]. **Optical Rotation:** $[\alpha]^{25}_{D}$ = -26.50 (c = 0.04, $CHCl_3$).

6. General procedure for synthetic transformation

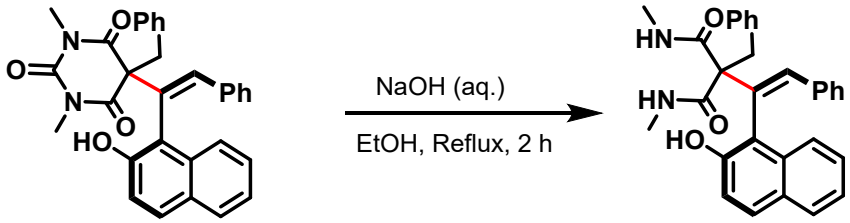
Compounds **4-6** were prepared by following the procedure:



3aa **G** **4-6**

To a solution of compound **3aa** (49 mg, 0.1 mmol, 1 equiv.) in dry THF (2 mL), **G** (0.12 mmol, 1.2 equiv.), DCC (24.7 mg, 0.12 mmol, 1.2 equiv.), and DMAP (1.22 mg, 0.01 mmol, 10 mol%) (HOBt was used in synthesis of compound 4 in equivalent amount)were added and stirred for appropriate time at rt. After completion of the reaction (determined by TLC), the reaction mixture was filtered and further treated with 1N HCl. The extraction was done with ethyl acetate, dried over Na_2SO_4, and concentrated under vacuum. The reaction mixture was then purified by column chromatography to afford the corresponding products (**4-6**).

Compound **7** was prepared by following the procedure[8]:

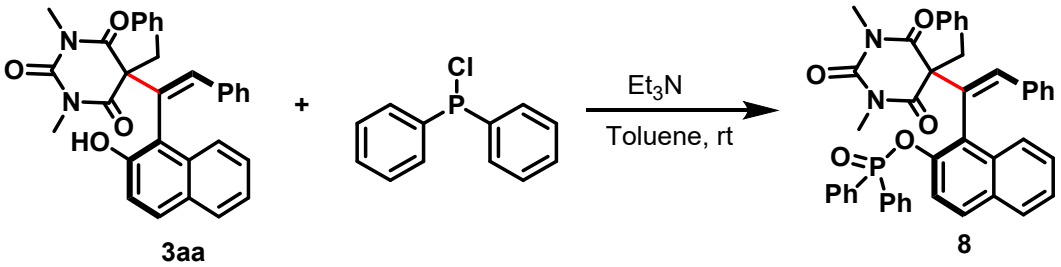


3aa **7**

To a solution of compound **3aa** (49 mg, 0.1 mmol, 1 equiv.) in dry 95% Ethanol (1 mL), aqueous sodium hydroxide was added and the mixture was refluxed for 2 h. After completion of the reaction (determined by TLC), the reaction mixture was filtered and further washed with water (3 times) and recrystallized from 95% ethanol to afford the compound **7**.

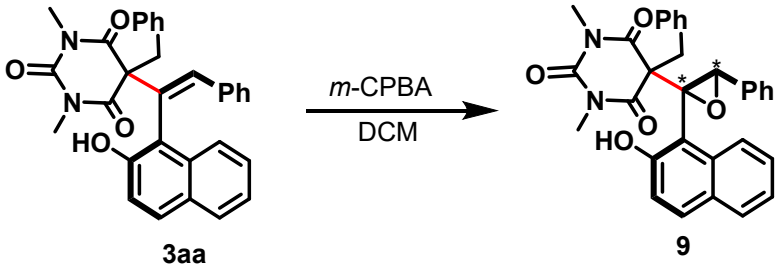
Compound **8** was prepared by following the procedure[9]:

Compound



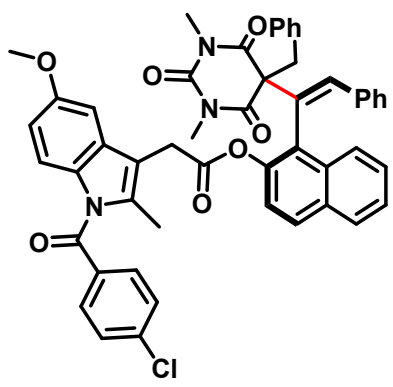
3aa (0.1 mmol) was dissolved in toluene (0.5 mL) under a N_2 atmosphere,and triethylamine (0.3 mmol) was added. To this mixture, a solution of chlorodiphenylphosphine (0.2 mmol) in toluene (0.5 mL) was added dropwise over 5 min. The resulting mixture was stirred at room temperature for 1 h. Ammonium salts were removed by filtration, and the reaction mixture was brought to dryness to yield the title product **8**.

Compound **9** was prepared by following the procedure[10]:



To a solution of **3aa** (0.1 mmol) in CH_2Cl_2 (2.5 mL) at 0 °C was added m-chloroperbenzoic acid (20.4 mg, 0.118 mmol). The resulting solution was stirred for 24 h at rt until complete consumption of starting material (checked by TLC). The mixture was washed with an aq. 10% solution of KOH (5 mL) and then extracted with CH_2Cl_2 (3.0 mL). The organic layer was dried (Na_2SO_4) and the solvent was removed under reduced pressure. The crude product was purified by silica gel column chromatography (hexanes–EtOAc, 10%) to yield the corresponding epoxide, as a mixture of diastereoisomers.

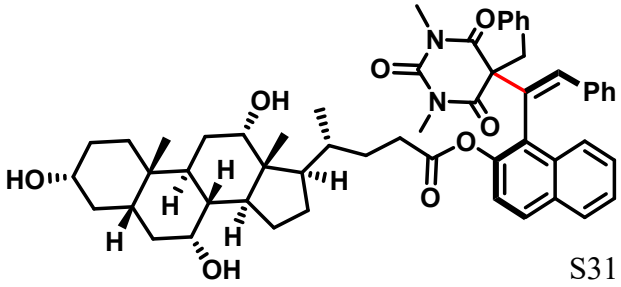
(E)-1-(1-(5-benzyl-1,3-dimethyl-2,4,6-trioxohexahydropyrimidin-5-yl)-2-phenylvinyl)naphthalen-2-yl-2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl)acetate **(4): Physical properties:** White sticky solid; **Yield:** 76%, 63.1 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.82 (t, *J* = 10.0 Hz, 3H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.42 – 7.40 (m, 5H), 7.31 – 7.25 (m, 2H), 7.17 – 7.12 (m, 4H), 7.04 – 6.98 (m, 2H), 6.94 – 6.83 (m, 5H), 6.65 (d, *J* = 9.1 Hz, 1H), 3.89 – 3.75



(m, 7H), 2.92 (s, 3H), 2.74 (s, 3H), 2.26 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 169.4, 169.0, 168.7, 168.2, 156.1, 150.3, 146.4, 139.1, 136.3, 135.5, 135.0, 134.6, 133.9, 131.8, 131.5, 131.1, 130.8, 130.7, 130.5, 130.0, 129.1, 129.0, 128.3, 128.1, 128.1, 127.4, 126.8, 126.2, 125.9, 125.4, 121.6, 115.0, 111.9, 111.6, 101.4, 64.3, 55.7, 42.8, 30.1, 28.6, 28.4, 13.4. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{50}H_{40}N_3NaO_7Cl$: 852.2452 found 852.2477. **HPLC**: The enantiomeric excess was determined to be 97% [determined by HPLC, Chiralpak AD-H, hexane:isopropanol = 50:50, 0.3 mL/min, λ = 254 nm, t (major) = 52.452 min, t (minor) = 47.767 min]. **Optical Rotation:** $[\alpha]^{28}_D$ = 8.94 (c = 0.04, $CHCl_3$).

(E)-1-(1-(5-benzyl-1,3-dimethyl-2,4,6-trioxohexahydropyrimidin-5-yl)-2-phenylvinyl)naphthalen-2-yl (S)-2-(6-methoxynaphthalen-2-yl)propanoate **(5): Physical properties:** White sticky solid; **Yield:** 66%, 46.4 mg. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.81 (t, *J* = 7.8 Hz, 2H), 7.66 – 7.63 (m, 2H), 7.53 (dd, *J* = 8.6, 5.4 Hz, 2H), 7.44 – 7.28 (m, 4H), 7.16 – 7.14 (m, 3H), 7.09 – 7.05 (m, 5H), 6.98 (t, *J* = 7.4 Hz, 1H), 6.85 (t, *J* = 7.7 Hz, 2H), 6.64 (d, *J* = 7.8 Hz, 2H), 4.08 (q, *J* = 7.0 Hz, 1H), 3.92 (s, 3H), 3.60 (d, *J* = 12.7 Hz, 1H), 3.47 (d, *J* = 12.7 Hz, 1H), 2.80 (s, 3H), 2.66 (s, 3H), 1.64 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 172.5, 169.0, 168.5, 157.7, 150.2, 146.7, 135.6, 135.2, 134.8, 134.5, 133.8, 131.8, 131.3, 130.7, 130.3, 129.7, 129.4, 129.0, 128.9, 128.2, 128.2, 128.0, 127.9, 127.1, 126.8, 126.5, 126.4, 126.0, 125.3, 124.8, 121.8, 118.8, 105.7, 64.4, 55.3, 45.5, 42.0, 28.5, 28.3, 18.5. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{45}H_{38}N_2NaO_6$: 725.2628 found 725.2661. **HPLC**: The diastereomeric ratio was determined to be 94:6 [determined by HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm, t (major) = 37.972 min, t (minor) = 47.899 min] **Optical Rotation:** $[\alpha]^{25}_D$ = +23.33 (c = 0.9, $CHCl_3$).

1-((E)-1-(5-benzyl-1,3-dimethyl-2,4,6-trioxohexahydropyrimidin-5-yl)-2-phenylvinyl)naphthalen-2-yl (4R)-4-((3R,5S,7R,8R,9S,10S,12S,14S,17R)-3,7,12-trihydroxy-10,13-dimethylhexadecahydro-1H-cyclopenta[a]phenanthren-17-yl)pentanoate



(6): Physical properties: Yellow sticky solid; **Yield:** 80%, 69.3 mg, **dr** > 20:1. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.78 – 7.71 (m 3H), 7.41 (s, 1H), 7.37 – 7.32 (m 2H), 7.19 – 7.15 (m, 1H), 7.09 (s, 5H), 6.96 – 6.88

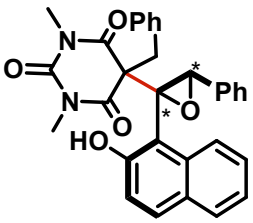
(m, 3H), 6.84 (d, J = 7.7 Hz, 2H), 3.92 – 3.86 (m, 2H), 3.81 – 3.72 (m, 2H), 3.38 – 3.34 (m, 1H), 2.94 (s, 3H), 2.53 – 2.44 (m, 8H), 2.34 – 2.29 (m, 2H), 2.19 – 2.10 (m, 2H), 1.98 – 1.94 (m, 1H), 1.86 – 1.77 (m, 2H), 1.71 – 1.64 (m, 2H), 1.60 – 1.54 (m, 2H), 1.46 – 1.42 (m, 3H), 1.35 – 1.30 (m, 2H), 1.26 – 1.16 (m, 6H), 1.02 – 0.98 (m, 1H), 0.89 (d, J = 5.6 Hz, 2H), 0.81 (s, 3H), 0.52 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ 170.9, 168.4, 167.6, 149.4, 145.2, 134.5, 134.1, 133.7, 130.9, 130.4, 129.5, 129.5, 128.9, 128.1, 127.3, 127.1, 127.0, 127.0, 126.4, 125.7, 125.1, 125.0, 124.8, 120.7, 72.0, 70.9, 67.4, 63.2, 46.0, 45.4, 42.5, 40.6, 40.4, 38.5, 38.5, 34.3, 34.0, 33.7, 33.6, 32.9, 30.0, 29.4, 29.3, 28.7, 27.8, 27.2, 27.1, 26.5, 25.4, 23.9, 22.2, 21.4, 16.2, 11.4. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{55}H_{64}N_2NaO_8$: 903.4560 found 903.4586. **Optical Rotation:** $[\alpha]^{25}_D$ = -9.02 (c = 4.08, $CHCl_3$).

(E)-2-benzyl-2-(1-(2-hydroxynaphthalen-1-yl)-2-phenylvinyl)-N1,N3-dimethylmalonamide **(7): Physical properties:** White sticky solid; **Yield:** 85%, 39.4 mg, **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.73 (d, J = 4.8 Hz, 1H), 7.81 (t, J = 8.7 Hz, 2H), 7.52 (d, J = 8.2 Hz, 1H), 7.35 (d, J = 8.9 Hz, 1H), 7.30 – 7.21 (m, 3H), 7.16 – 7.14 (m, 3H), 7.03 (s, 1H), 6.98 – 6.87 (m, 7H), 5.91 (d, J = 5.0 Hz, 1H), 3.57 (d, J = 13.9 Hz, 1H), 2.88 (d, J = 4.7 Hz, 3H), 2.82 (d, J = 4.8 Hz, 3H), 2.36 (d, J = 13.9 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.7, 174.4, 155.3, 136.2, 135.3, 135.2, 134.7, 131.3, 130.7, 129.3, 129.2, 129.1, 128.8, 128.2, 128.1, 128.05, 127.3, 127.0, 122.8, 122.6, 120.5, 114.9, 62.9, 41.3, 26.4, 26.2. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{30}H_{29}N_2O_3$: 465.2178 found 465.2180. **HPLC:** The enantiomeric excess was determined to be 10% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 35:65, 1 mL/min, λ = 254 nm, t(major) = 12.813 min, t (minor) =5.176 min. **Optical Rotation:** $[\alpha]^{20}_D$ = +62.50 (c = 0.03, $CHCl_3$).

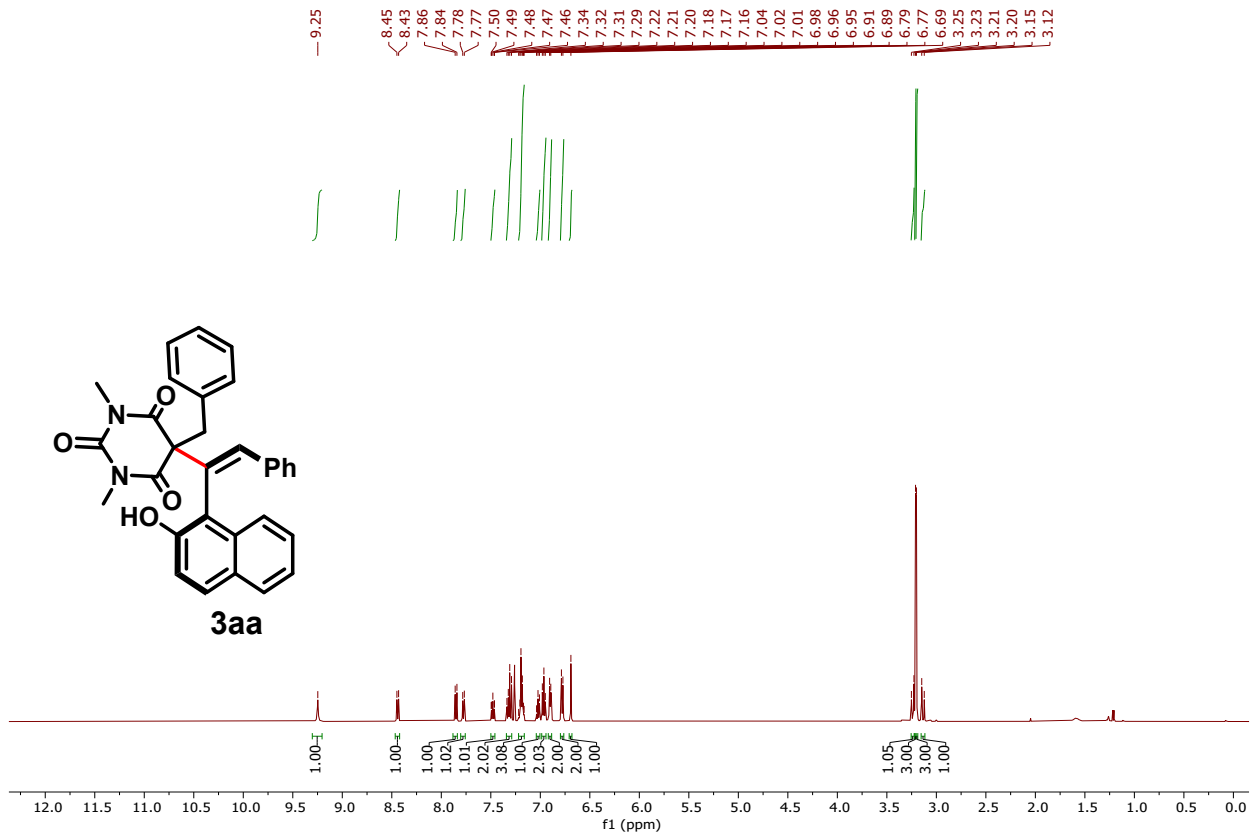
(E)-1-(1-(5-benzyl-1,3-dimethyl-2,4,6-trioxohexahydropyrimidin-5-yl)-2-phenylvinyl)naphthalen-2-yl diphenylphosphinate **(8): Physical properties:** White sticky solid; **Yield:** 96%, 66.2 mg. **^{1}H NMR** (399 MHz, $CDCl_3$) δ 7.98 – 7.92 (m, 2H), 7.84 (d, J = 8.4 Hz, 1H), 7.76 (d, J = 7.9 Hz, 1H), 7.66 – 7.63 (m, 2H), 7.59 – 7.50 (m, 4H), 7.48 – 7.39 (m, 2H), 7.32-7.27 (m, 3H), 7.16 – 7.04 (m, 10H), 6.97 (d, J = 8.2 Hz, 2H), 3.89 (d, J = 12 Hz, 1H), 3.85 (d, J = 12 Hz, 1H), 2.71 (s, 3H), 2.48 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 168.7, 168.5, 150.2, 135.5, 135.3, 135.2, 133.1, 132.6, 132.1, 131.9, 131.9, 131.9, 131.8, 131.8, 130.7, 130.3, 130.1, 129.1, 128.7, 128.6, 128.4, 128.3, 128.2,

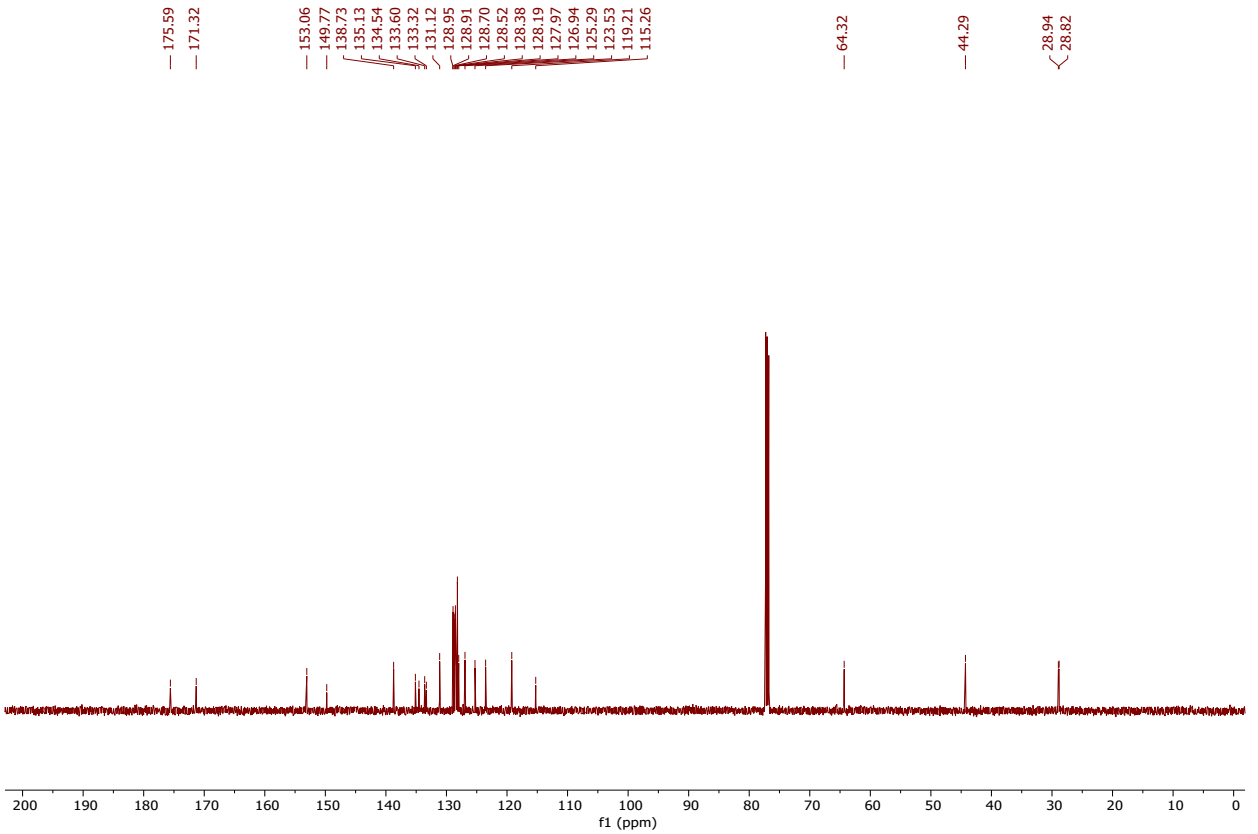
128.2, 127.2, 127.2, 125.5, 125.4, 122.8, 119.2, 64.5, 43.3, 28.4, 28.1. **^{31}P NMR** (162 MHz, $CDCl_3$) δ 30.46. **HRMS ESI**: $[M+H]^+$, Calcd for $C_{43}H_{36}N_2PO_5$: 691.2362 found 691.2364. **HPLC:** The enantiomeric excess was determined to be 90% [determined by HPLC, Chiralpak ID, hexane:isopropanol = 60:40, 1 mL/min, λ = 254 nm, t(major) = 28.260 min, t (minor) =37.023 min. **Optical Rotation:** $[\alpha]^{25}_D$ = -147.86 (c = 0.08, $CHCl_3$).

5-benzyl-5-((2R,3R)-2-(2-hydroxynaphthalen-1-yl)-3-phenyloxiran-2-yl)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione **(9): Physical properties:**

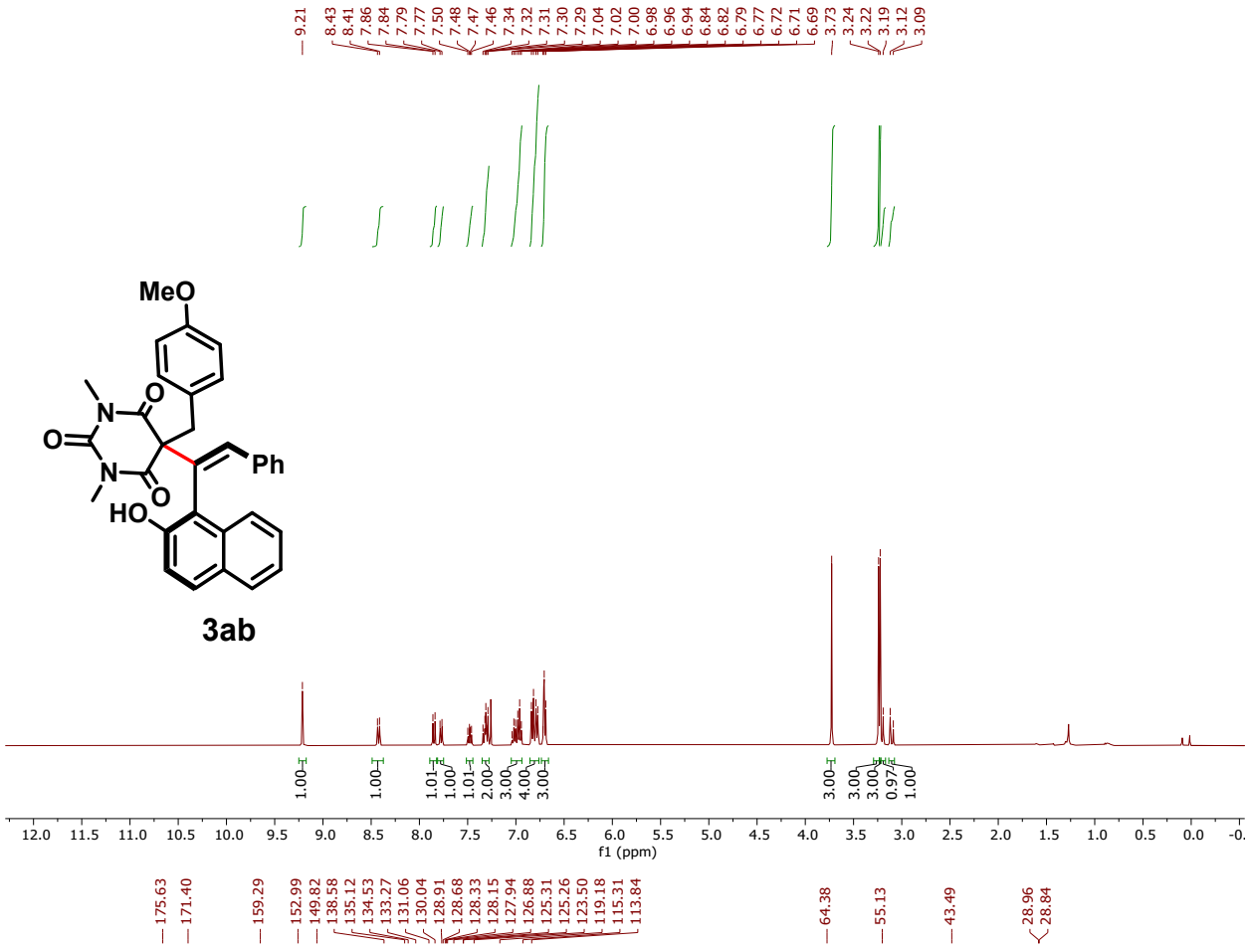


Light Yellow sticky solid; **Yield:** 78%, 39.4 mg (**inseparable mixture of diastereomers**). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.08 (s, 1H), 7.92 – 7.40 (m, 1H), 7.32 – 7.27(m, 3H), 7.26 – 7.24 (m, 1H), 7.19 – 7.07 (m, 3H), 7.04 – 6.94 (m, 3H), 6.91-6.88 (m, 1H), 6.77-6.74 (m, 1H), 6.69 – 6.63(m, 1H), 6.54 – 6.50 (m, 2H), 5.89 - 5.58 (m, 1H), 3.72 – 3.51(m, 1H), 3.39-3.33 (m, 1H), 3.30-3.16 (m, 3H), 3.02-2.98 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 203.7, 169.8, 145.8, 145.6, 144.8, 140.6, 135.8, 135.7, 134.1, 133.5, 132.6, 131.0, 130.6, 130.2, 130.0, 130.0, 129.8, 129.7, 129.2, 128.9, 128.7, 128.5, 128.4, 128.3, 128.0, 127.9, 127.6, 127.6, 127.3, 126.3, 123.1, 122.8, 109.6, 61.8, 60.9, 46.1, 42.0, 28.8, 28.5, 28.4, 28.1. **HRMS ESI**: $[M+Na]^+$, Calcd for $C_{31}H_{26}N_2NaO_5$: 529.1739, found 529.1719. **HPLC:** The enantiomeric excess was determined to be 94%, 77:23 dr [determined by HPLC, Chiralpak ID, hexane:isopropanol = 60:40, 1 mL/min, λ = 254 nm, t(major) = 35.713 min, t (minor) = 52.185 min. **Optical Rotation:** $[\alpha]^{25}_D$ = -36.0 (c = 0.01, $CHCl_3$).





7. ^{1}H, ^{13}C and ^{19}F NMR spectra of compounds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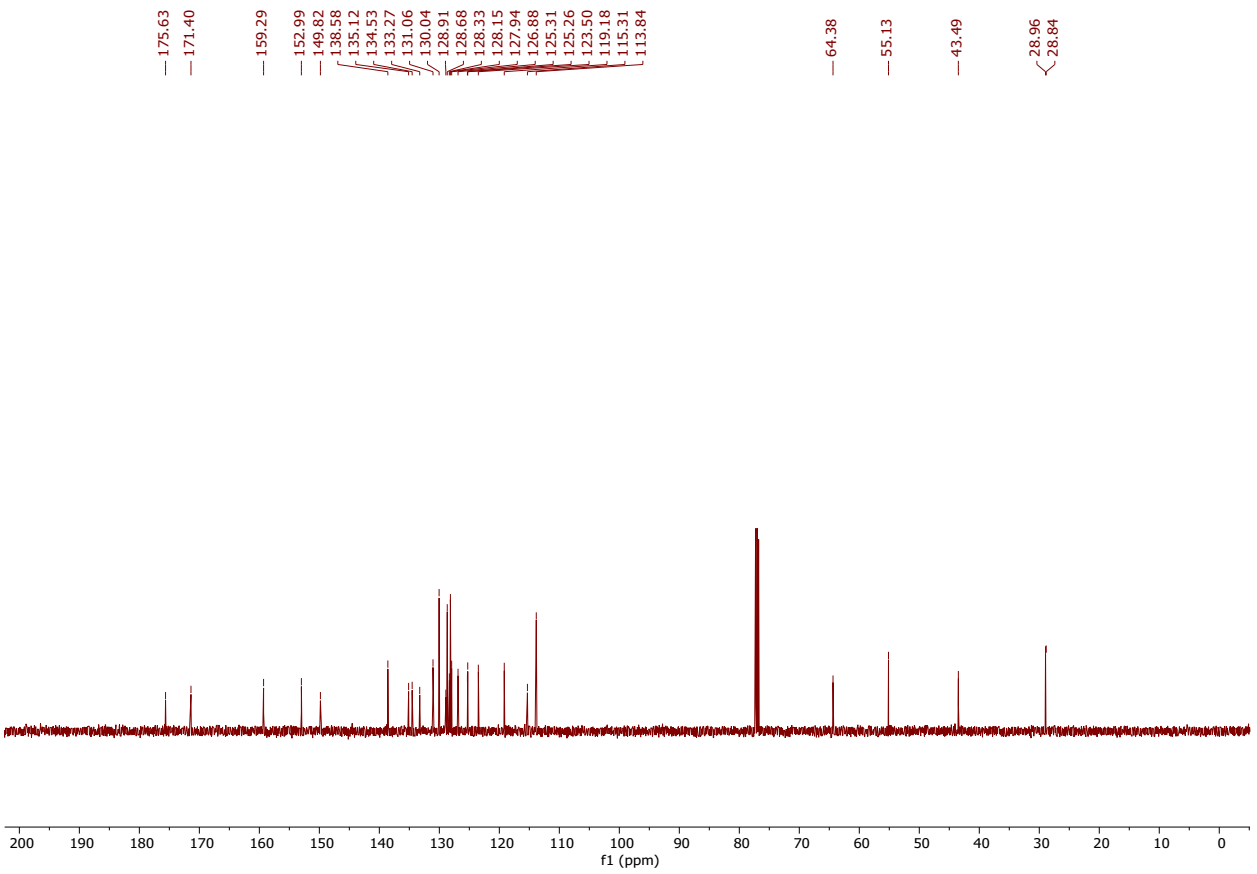
f1 (ppm)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128.15
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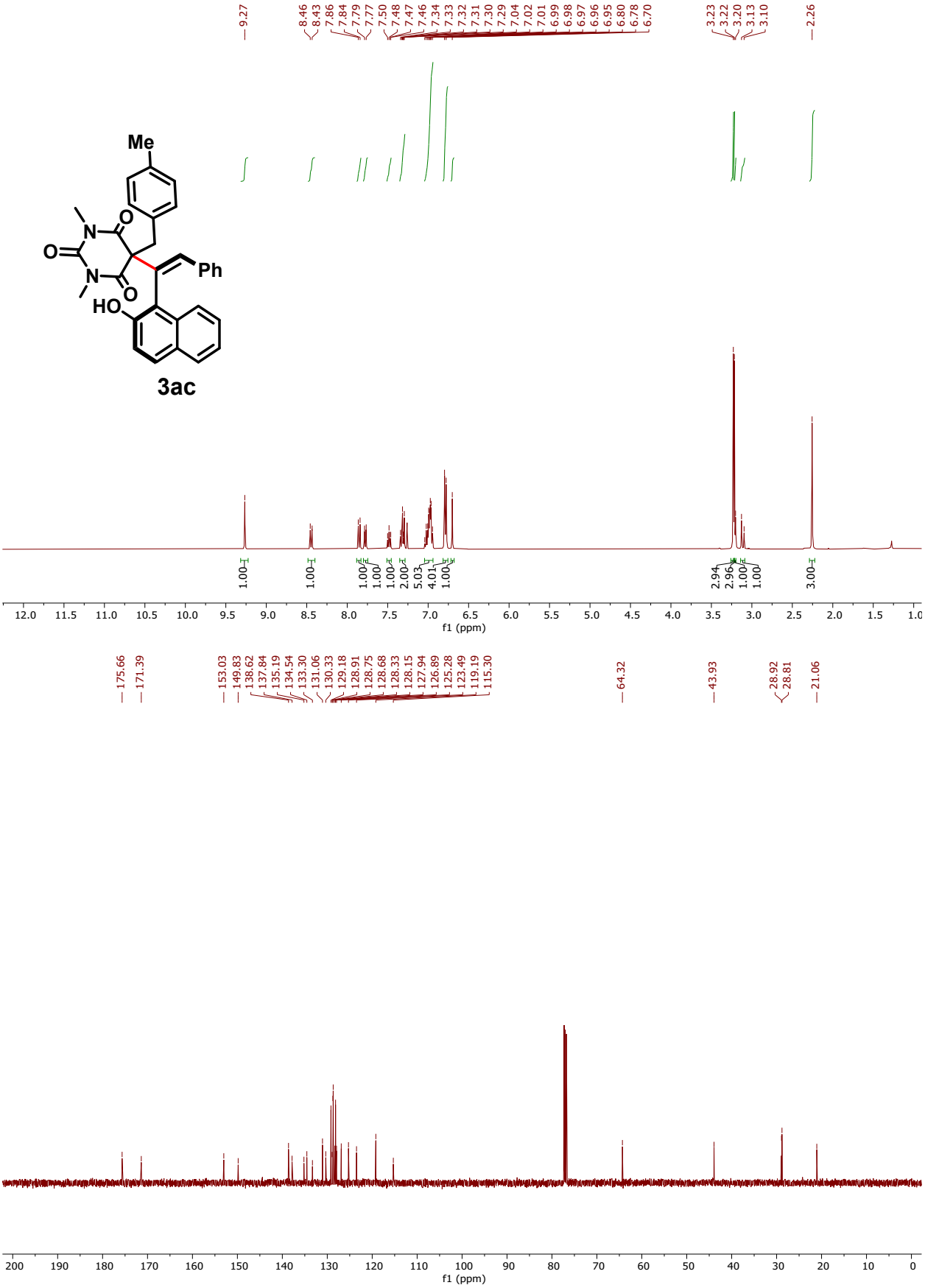
f1 (ppm)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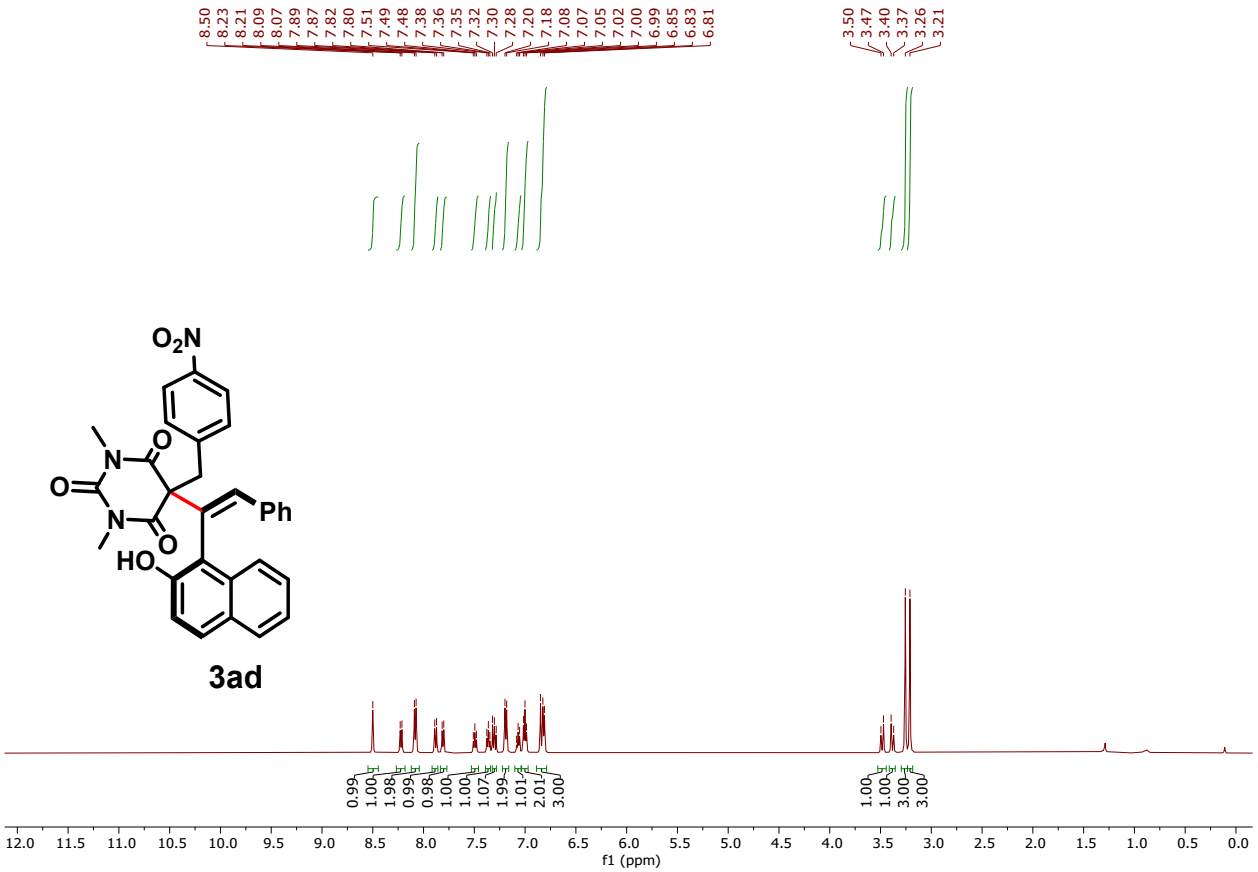
f1 (ppm)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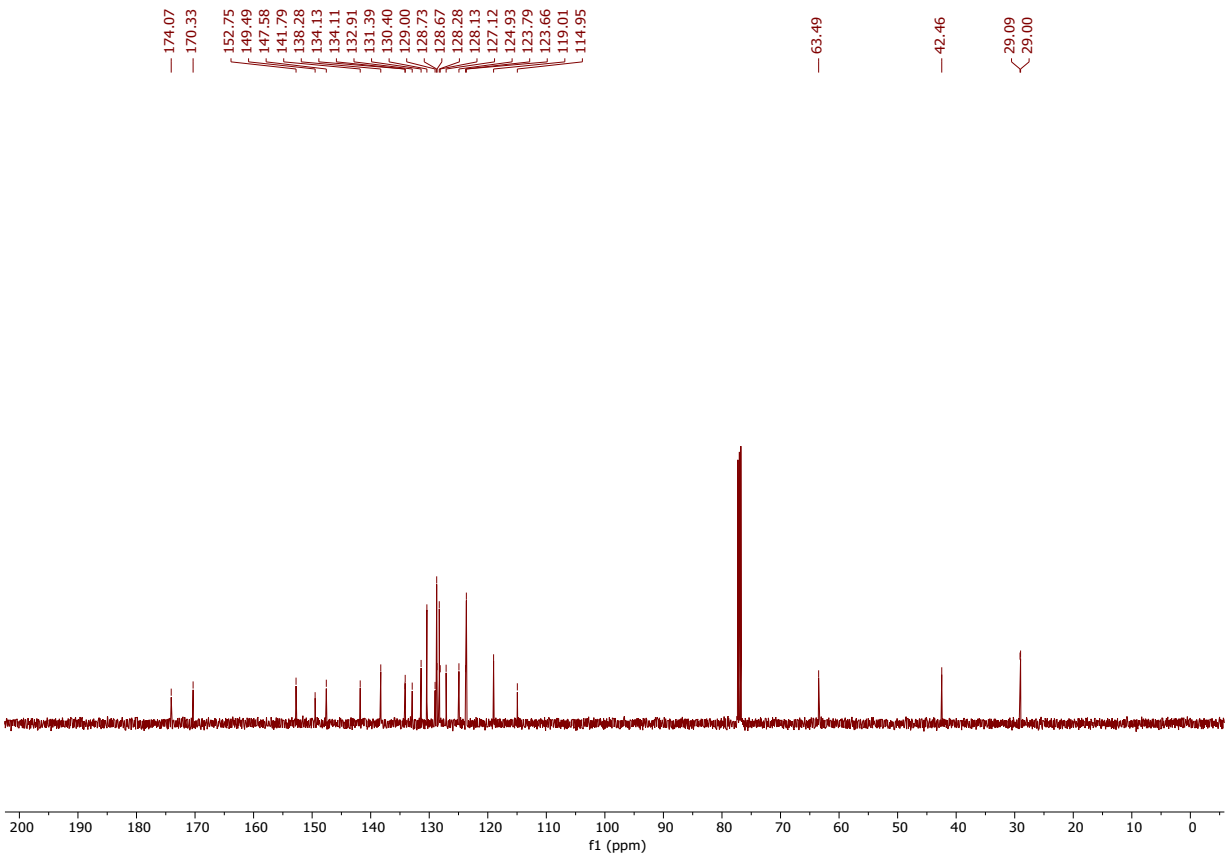
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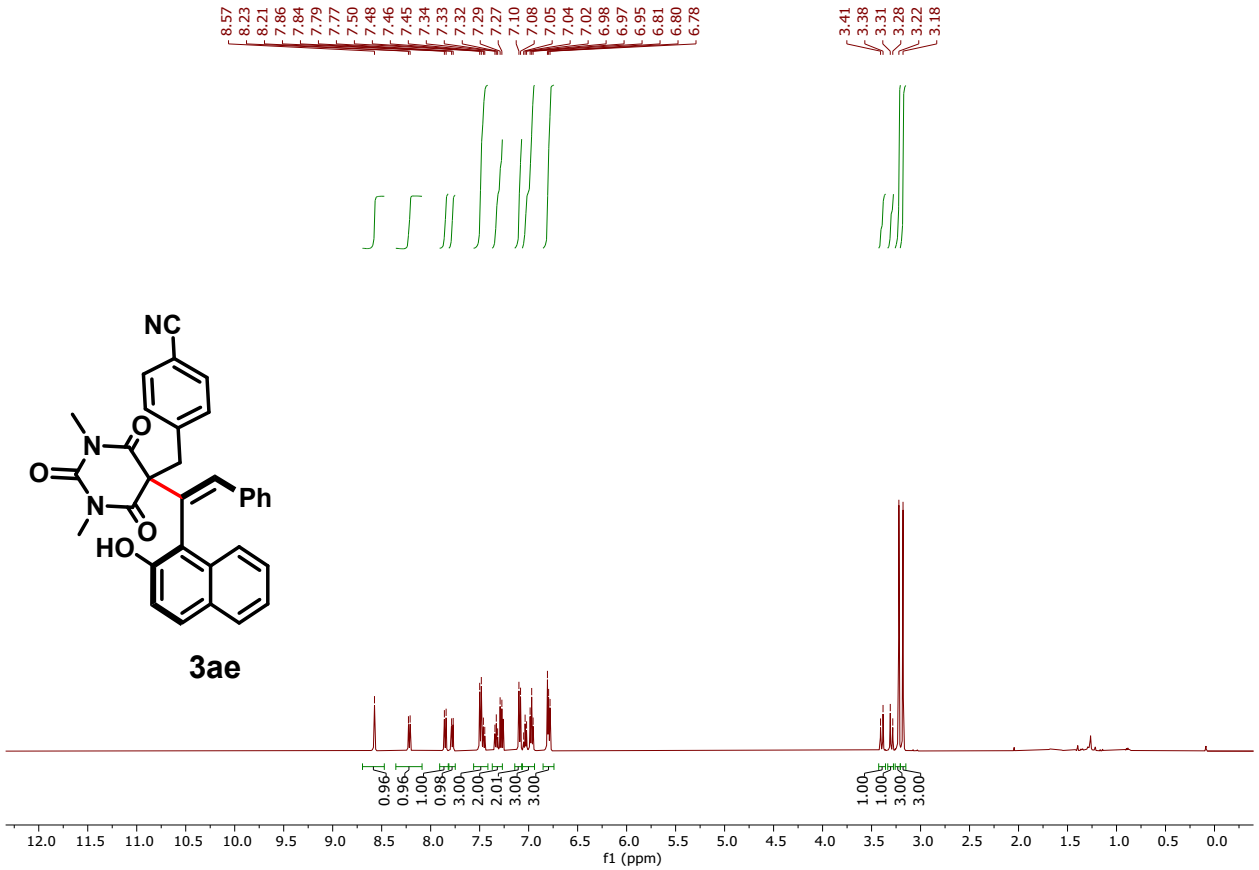
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Ph
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1.00
1.00
3.00
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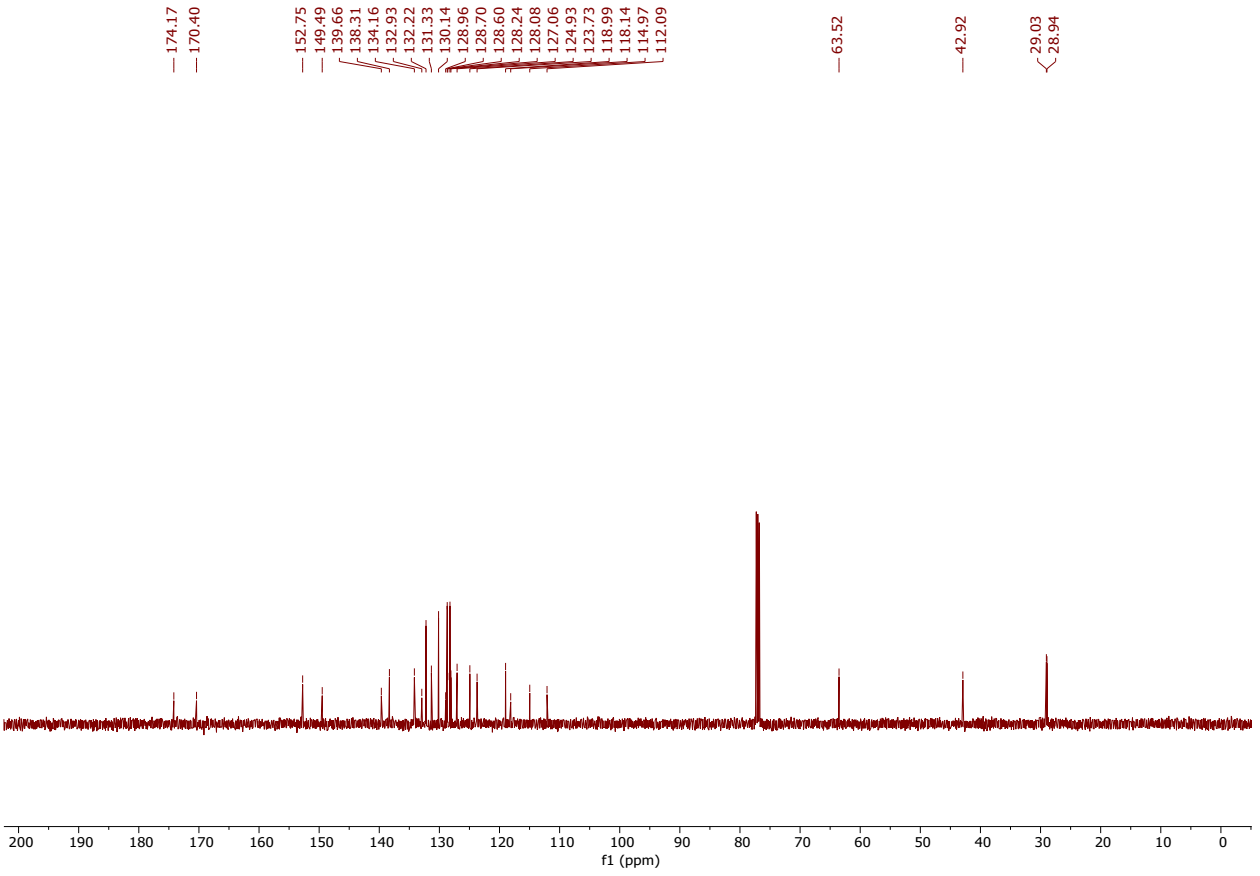
f1 (ppm)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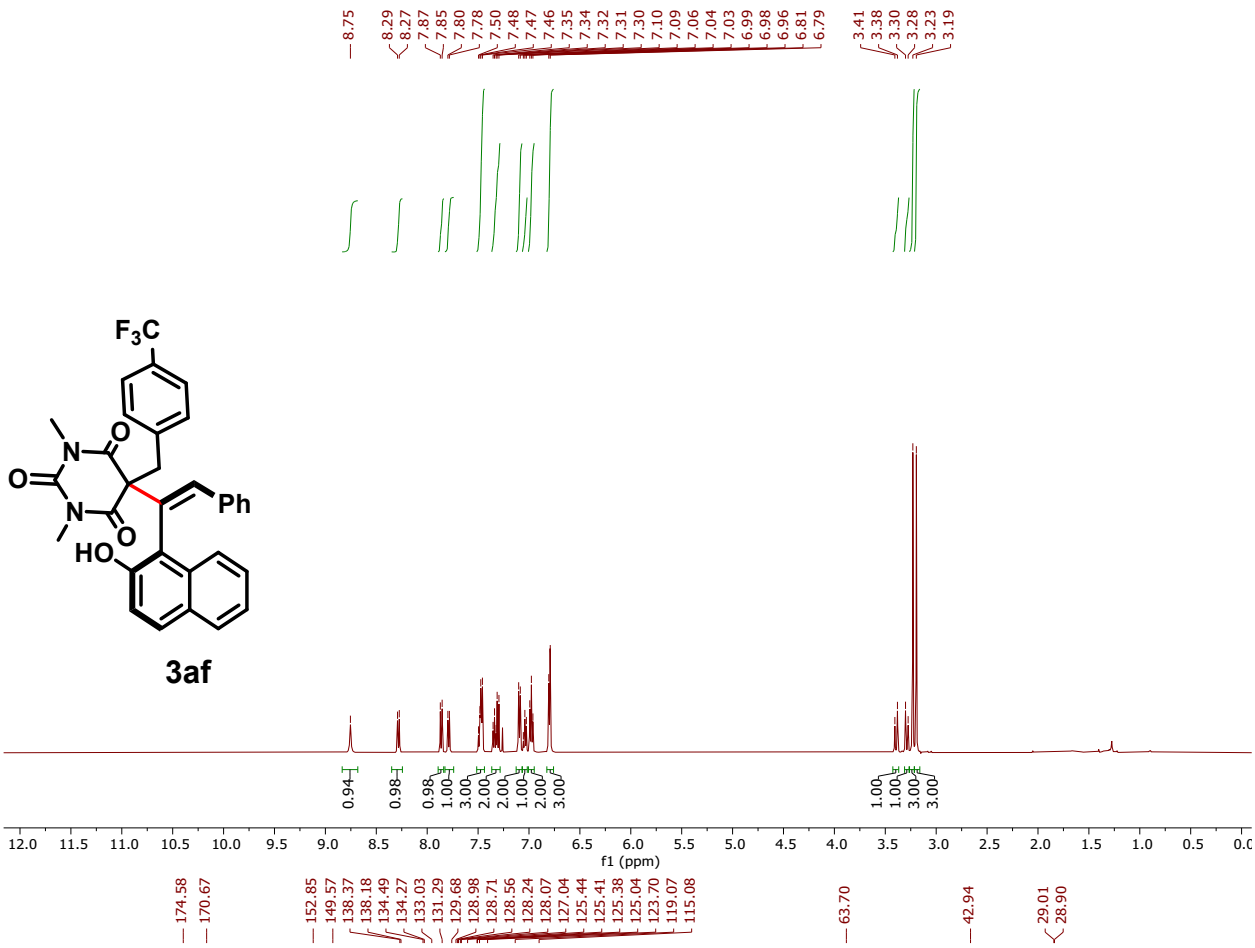
f1 (ppm)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N
O
HO
Ph
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0.98
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3.00
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2.00
1.00
2.00
3.00
1.00
1.00
3.00
3.00
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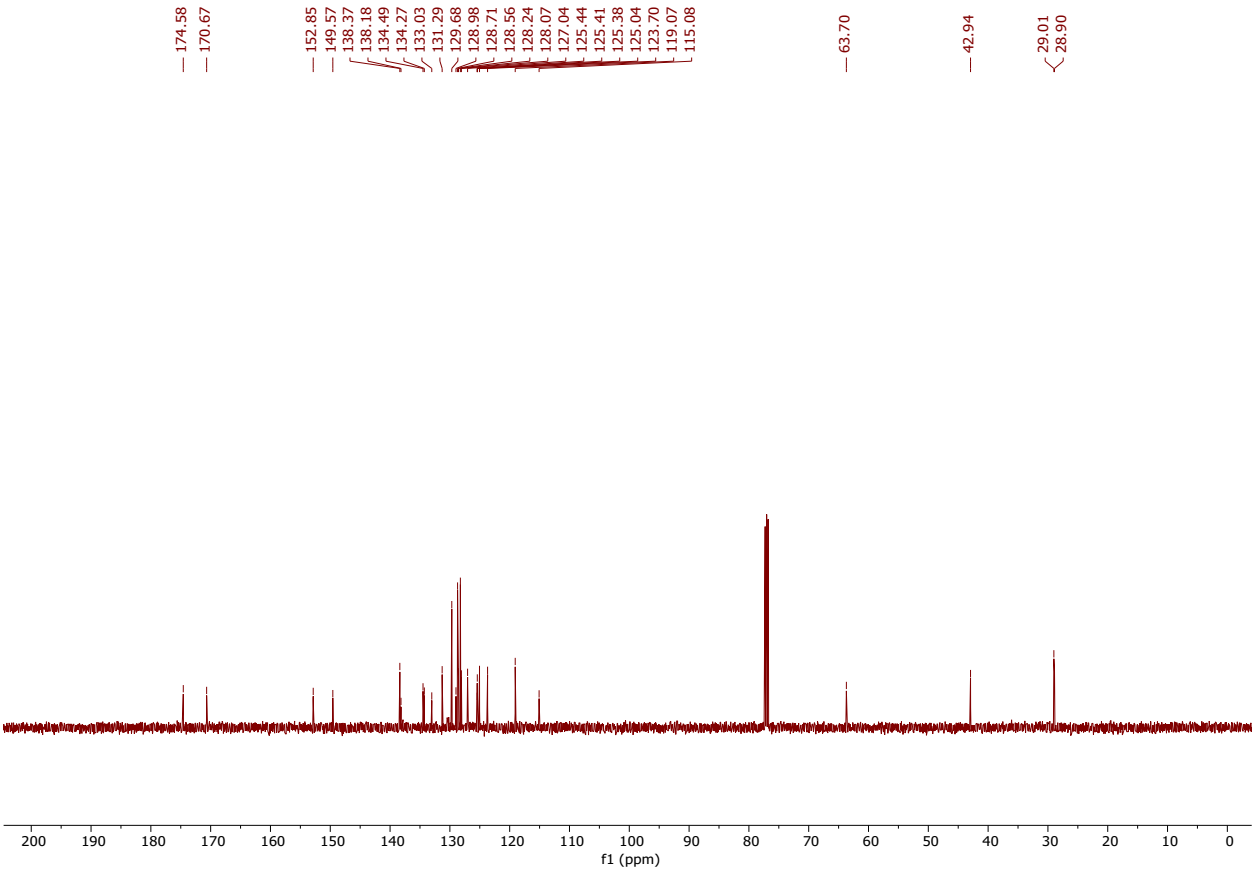
f1 (ppm)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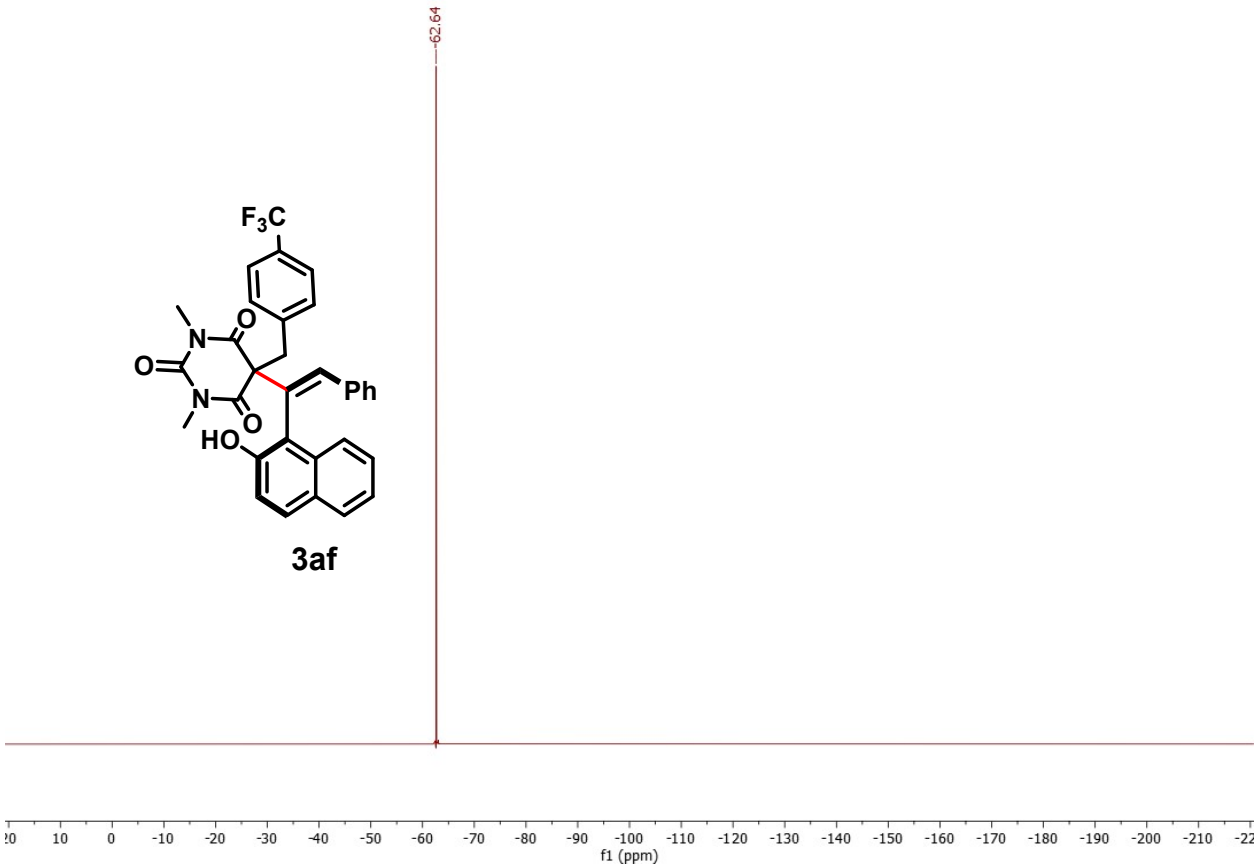
f1 (ppm)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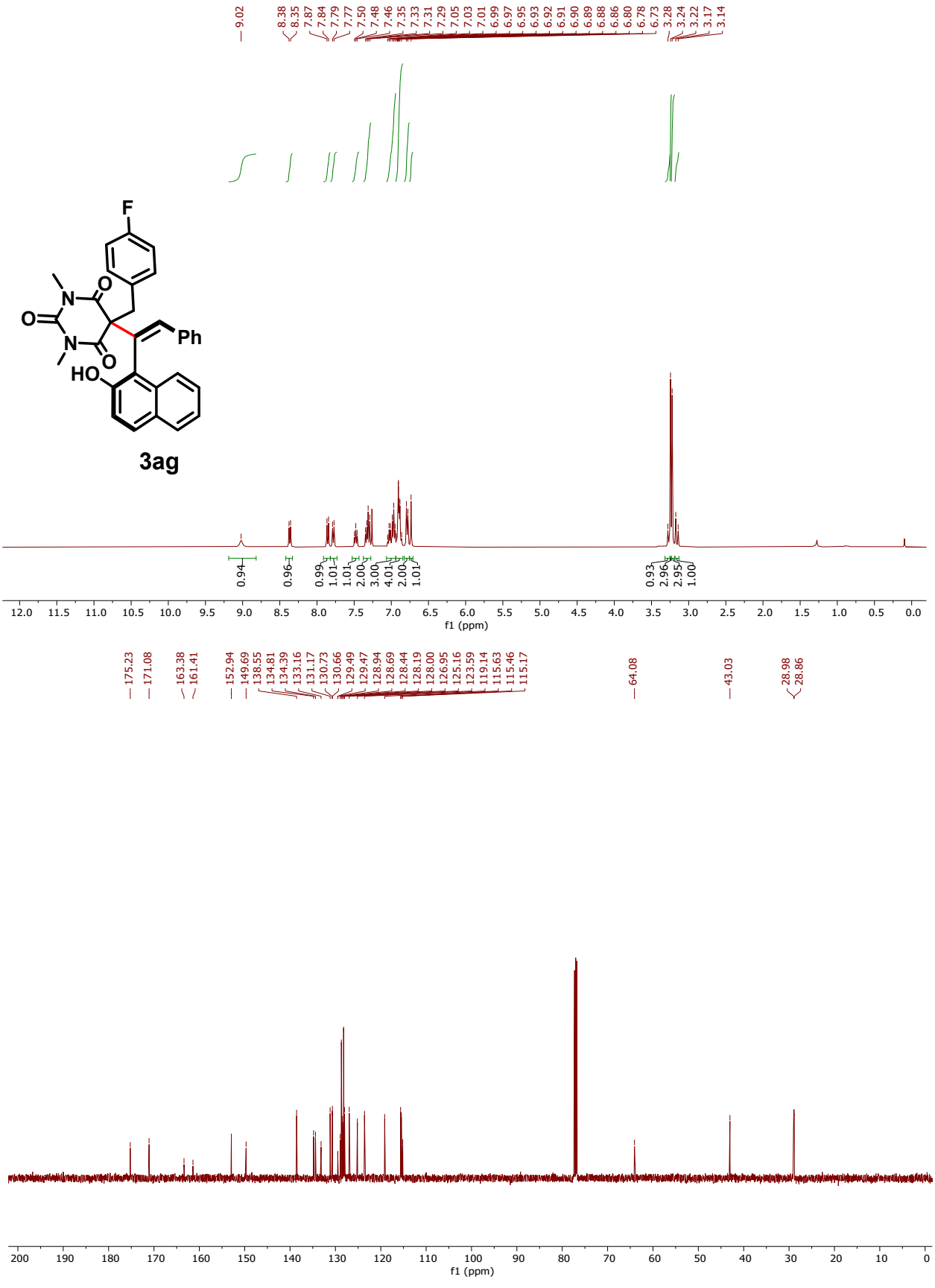
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Ph
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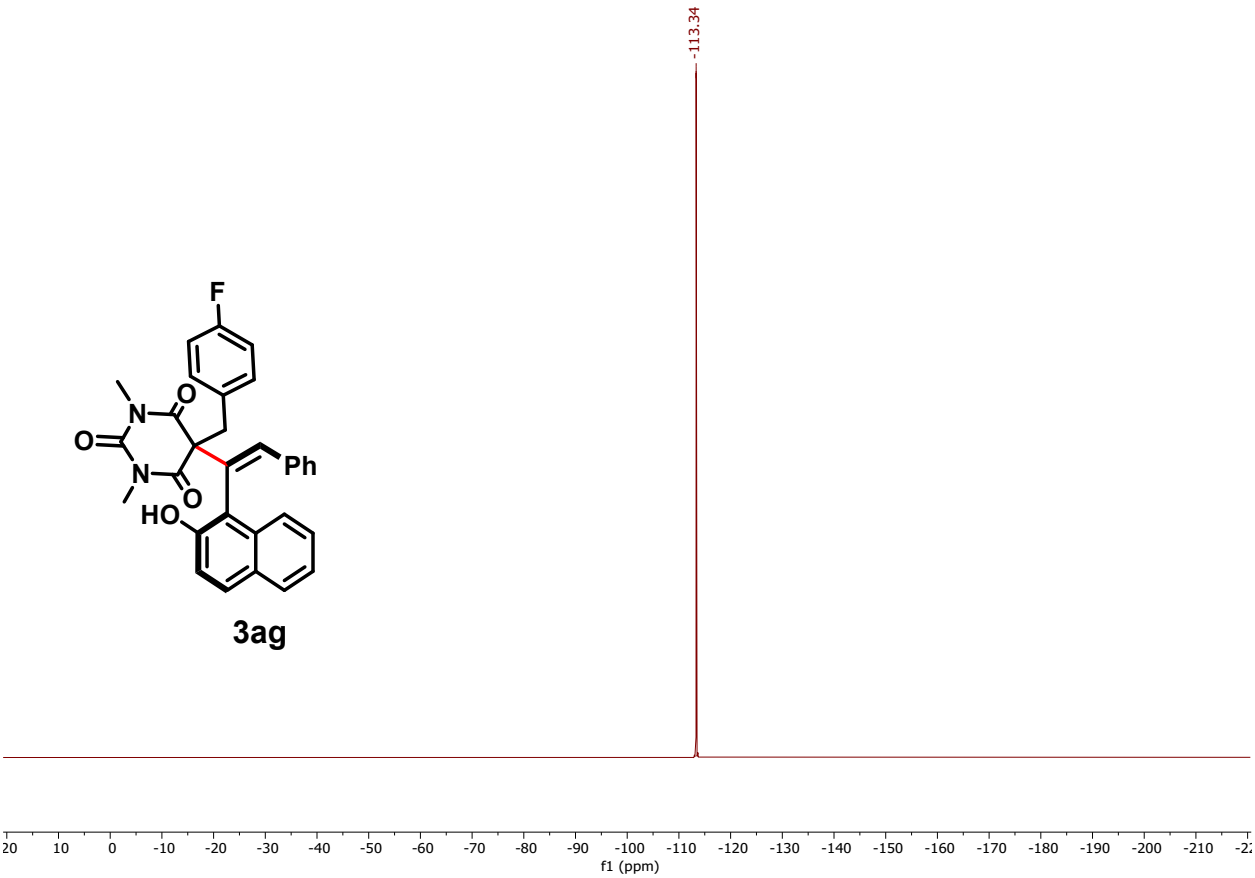
f1 (ppm)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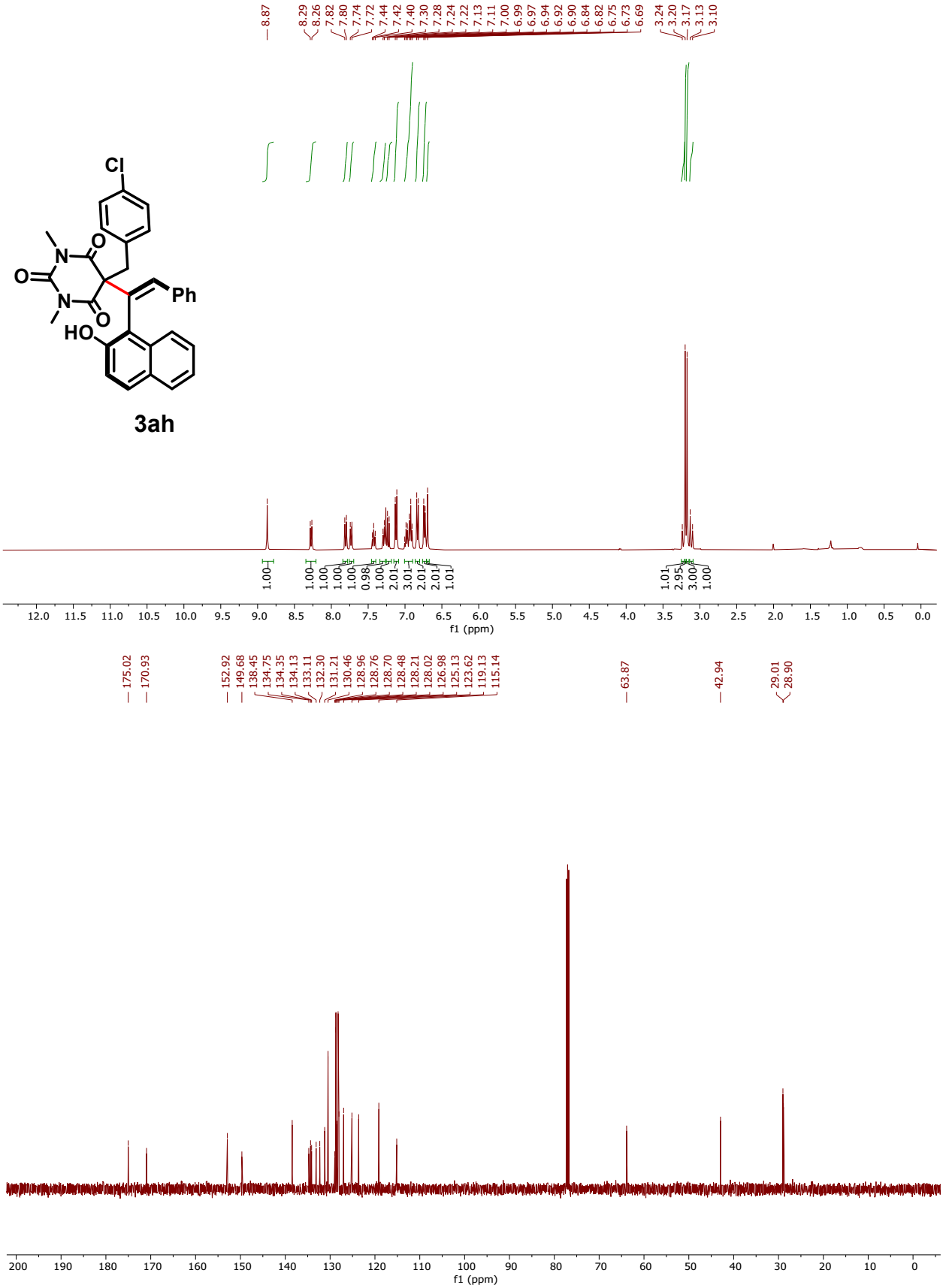
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1.00
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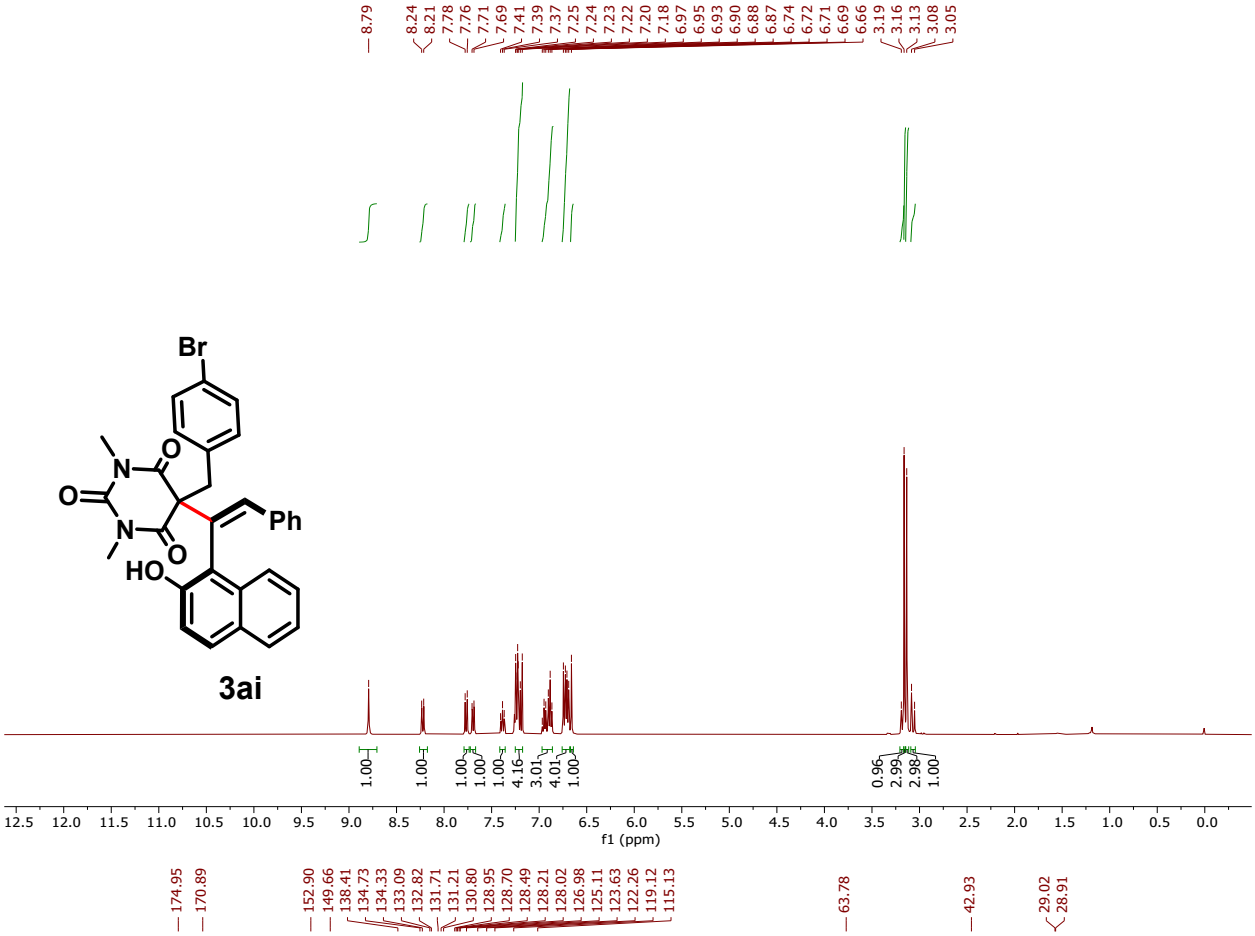
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6.87
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6.71
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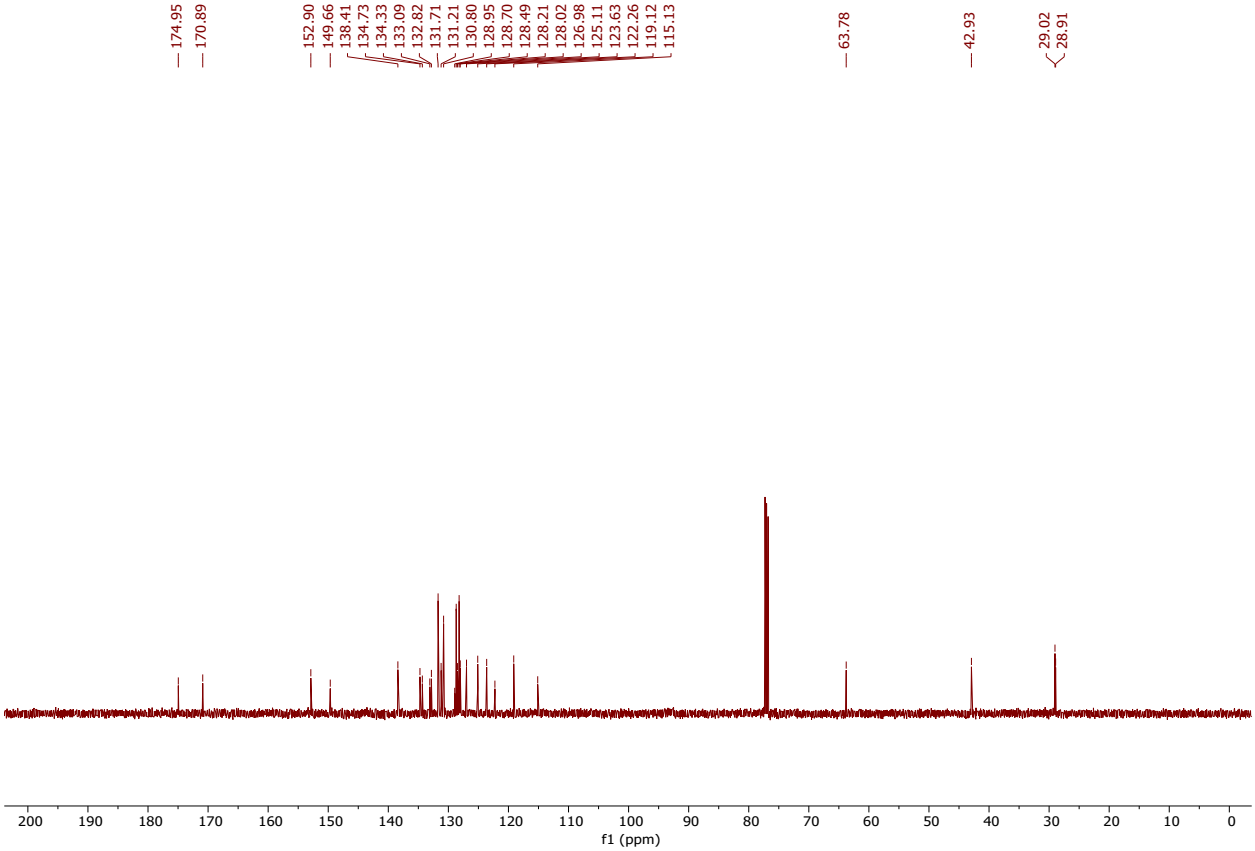
2.98
1.00
12.5 12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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131.21
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128.49
128.21
128.02
126.98
125.11
123.63
122.26
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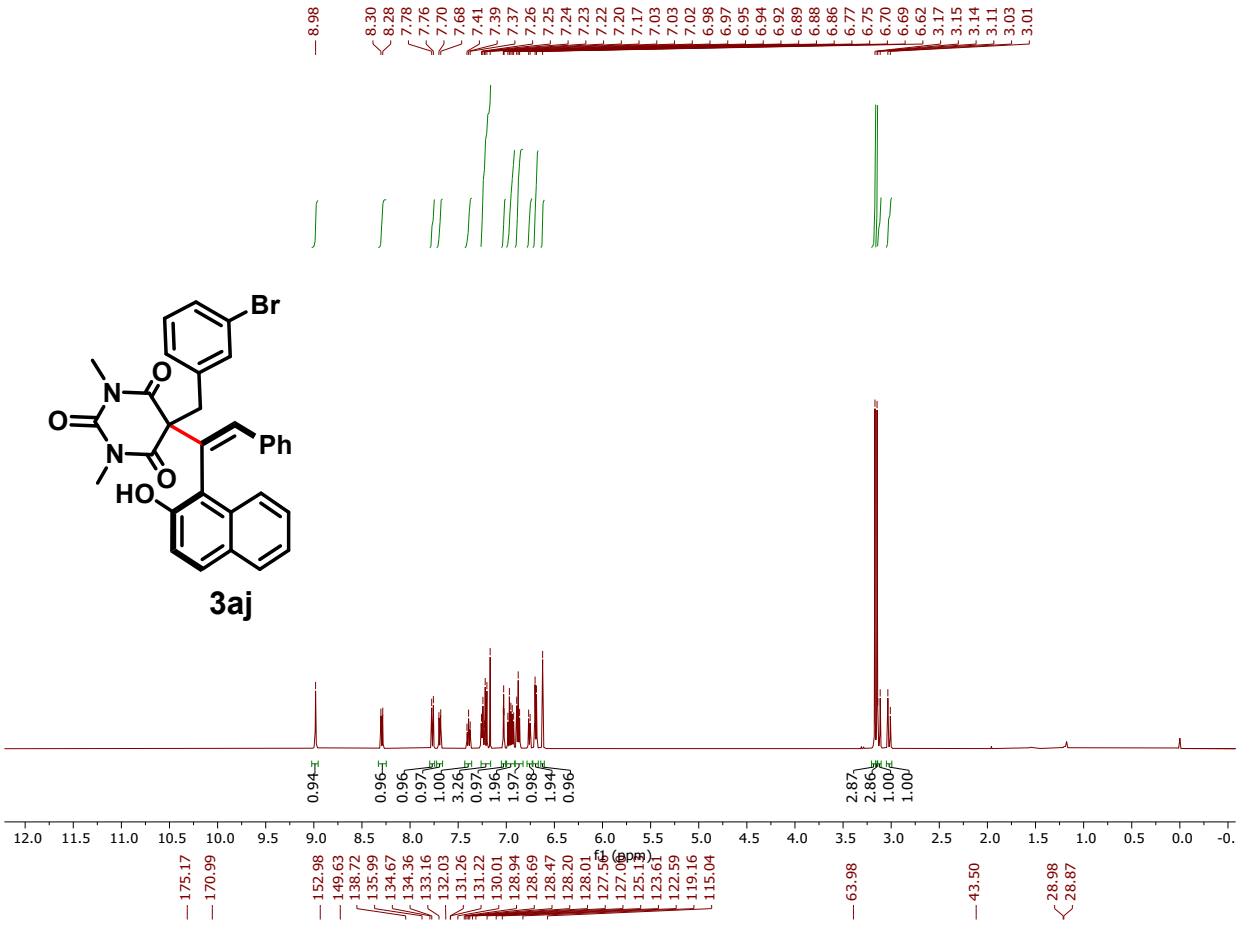
63.78
42.93
29.02
28.91
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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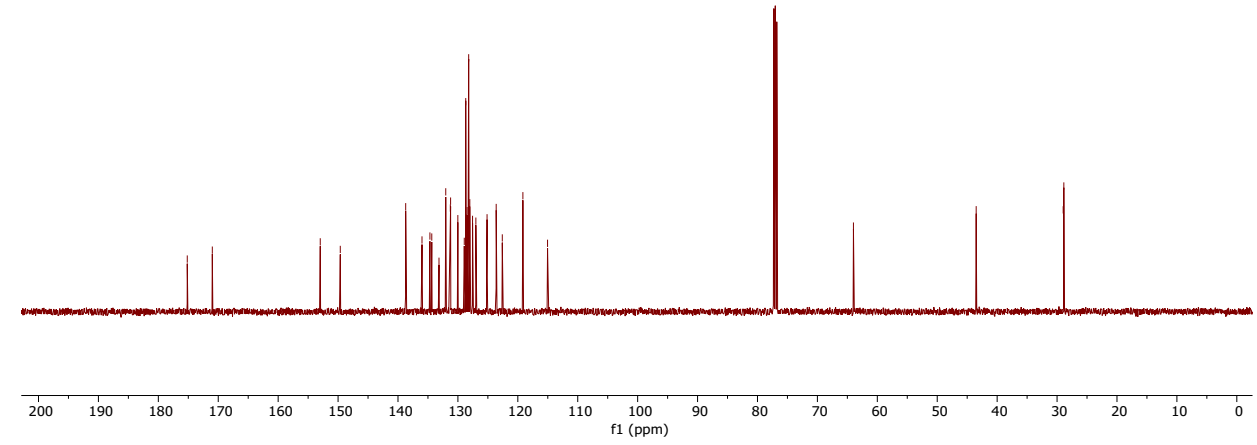
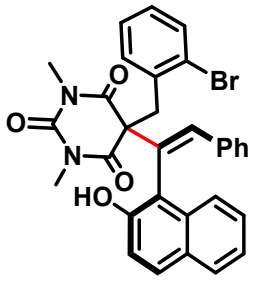
O
N
O
Ph
HO
3aj
f1 (ppm)


f1 (ppm)



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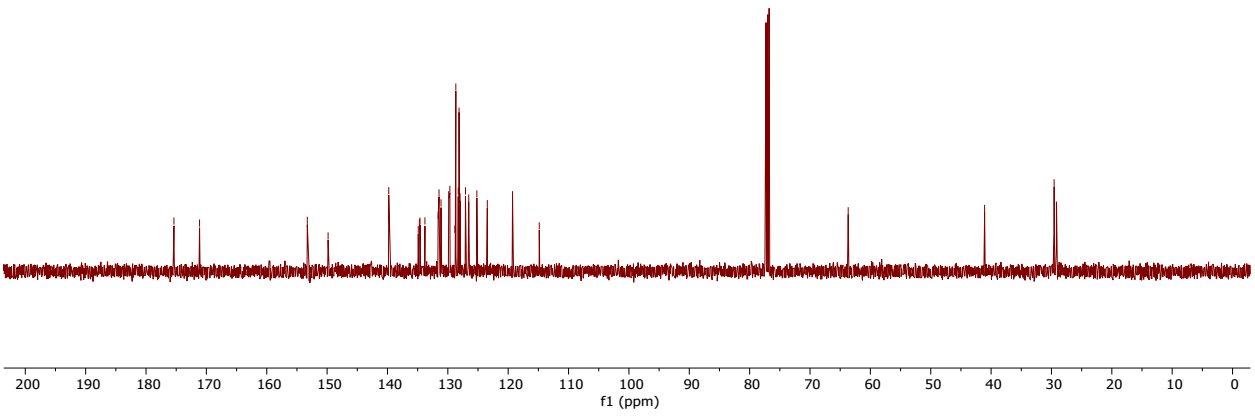

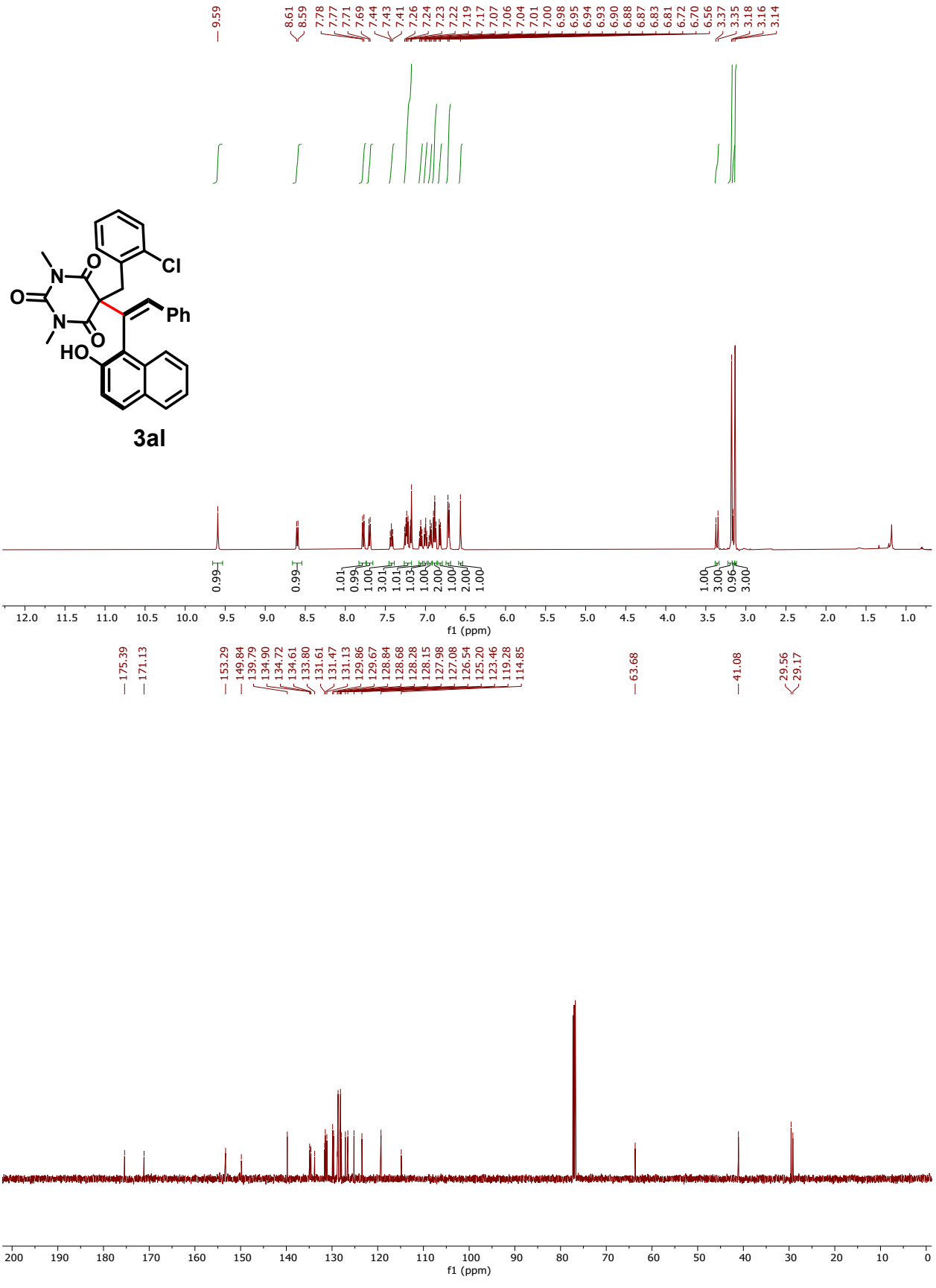
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7.43
7.41
7.26
7.24
7.23
7.22
7.19
7.17
7.07
7.06
7.04
7.01
7.00
6.98
6.95
6.94
6.93
6.90
6.88
6.87
6.83
6.81
6.72
6.70
6.56
3.37
3.35
3.18
3.16
3.14
Cl
Ph
HO
O
N
3al
0.99
0.99
1.01
0.99
1.00
3.01
1.01
1.03
1.00
2.00
1.00
2.00
1.00
1.00
3.00
0.96
3.00
f1 (ppm)
175.39
171.13
153.29
149.84
139.79
134.90
134.72
134.61
133.80
131.61
131.47
131.13
129.86
129.67
128.84
128.68
128.28
128.15
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125.20
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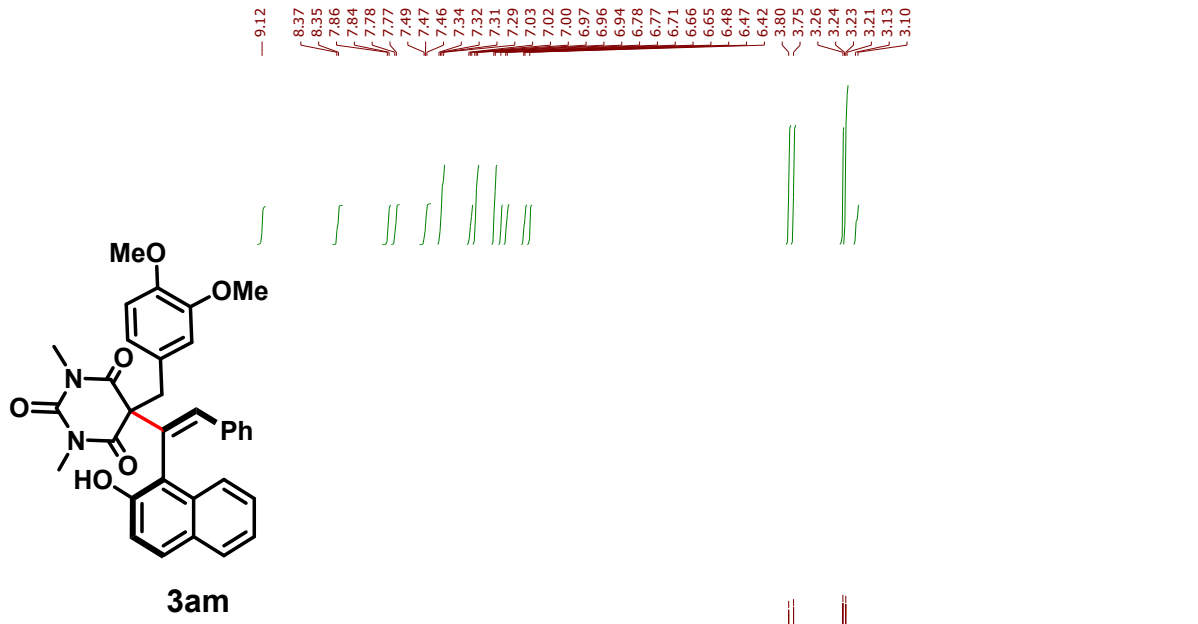
119.28
114.85
63.68
41.08
29.56
29.17
f1 (ppm)



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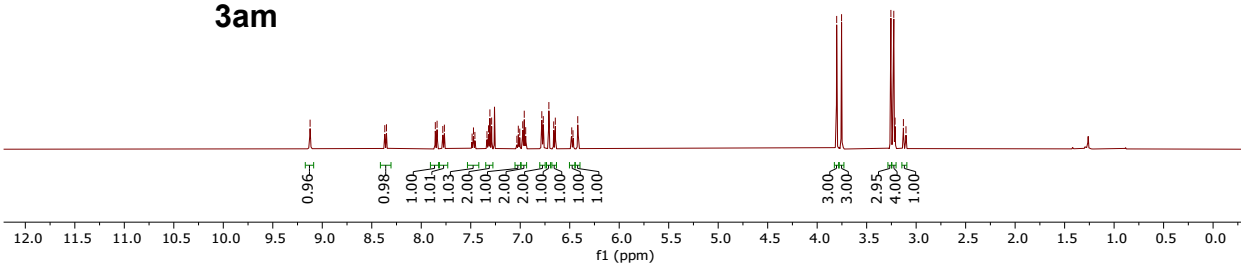

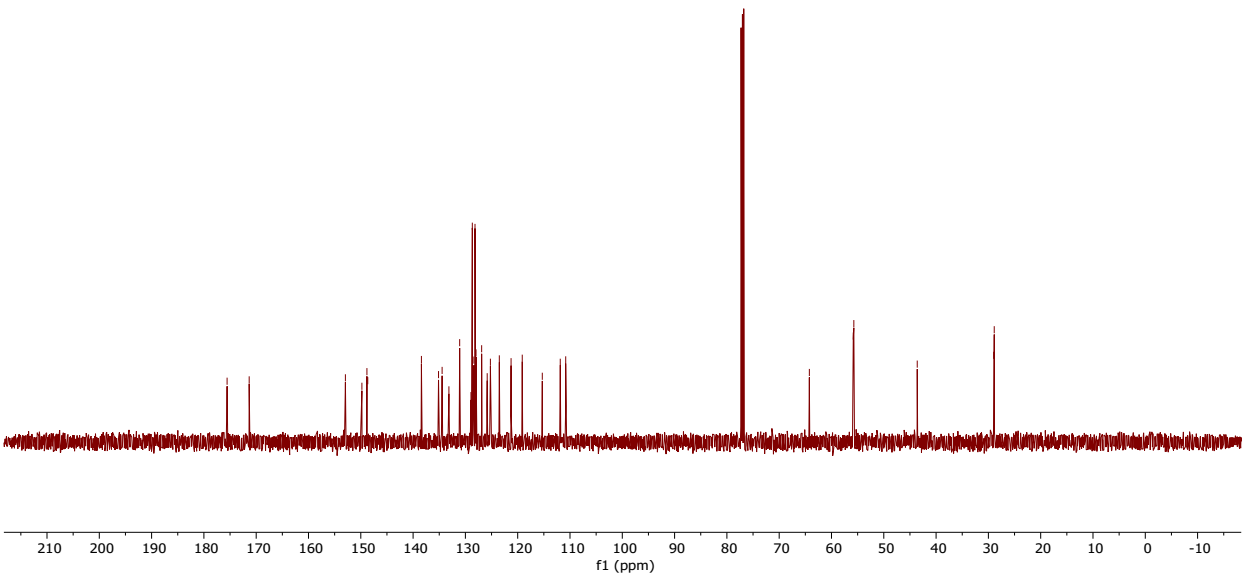

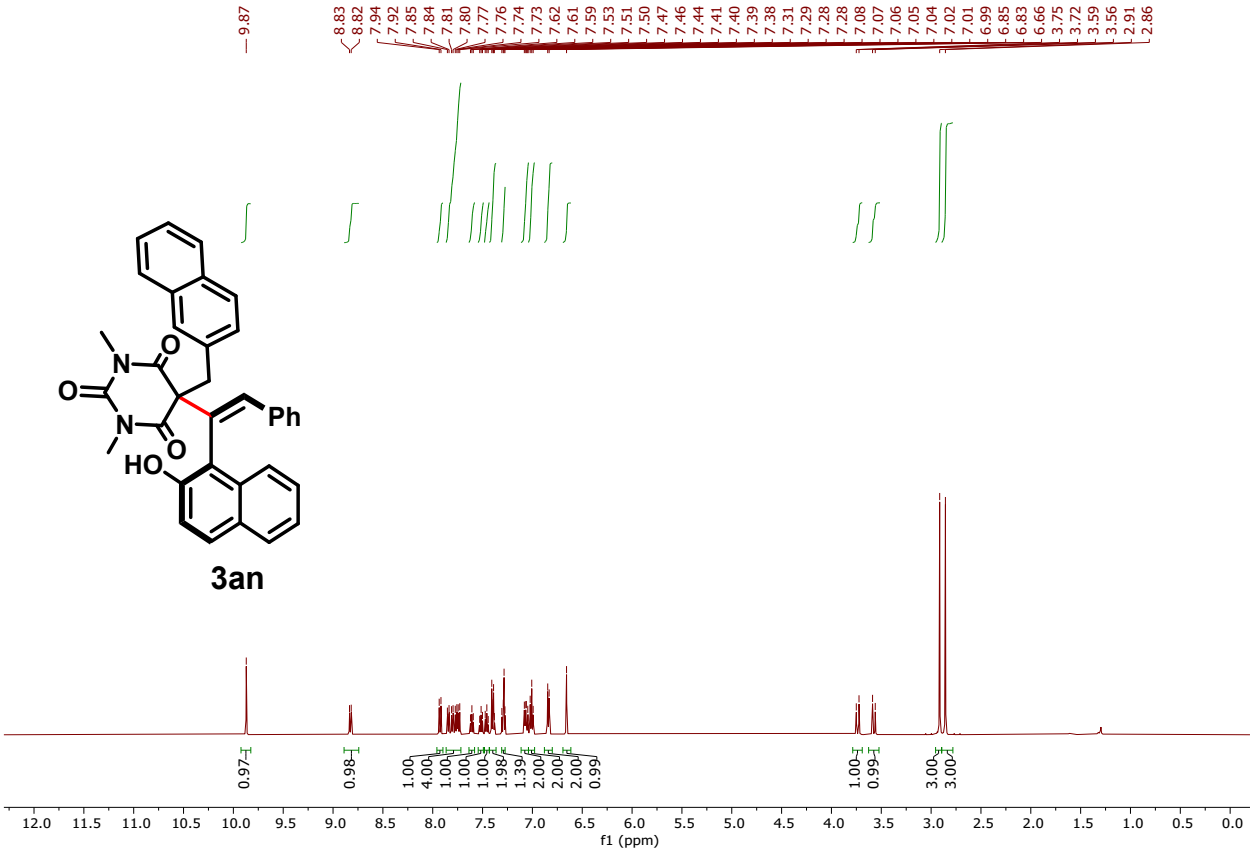
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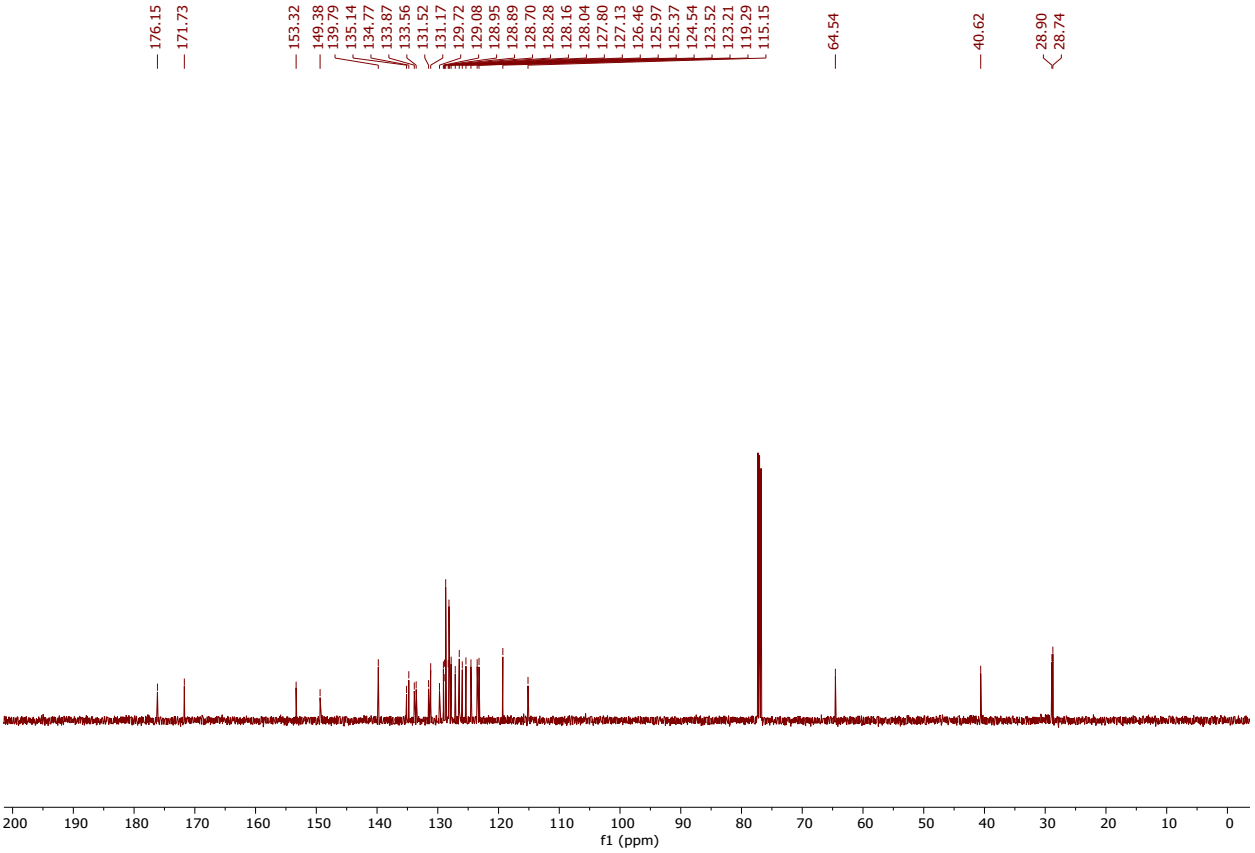
7.81
7.80
7.77
7.76
7.74
7.73
7.62
7.61
7.59
7.53
7.51
7.50
7.47
7.46
7.44
7.41
7.40
7.39
7.38
7.31
7.29
7.28
7.28
7.08
7.07
7.06
7.05
7.04
7.02
7.01
6.99
6.85
6.83
6.66
3.75
3.72
3.59
3.56
2.91
2.86
O
N
O
N
O
HO
Ph
3an
0.97
0.98
1.00
4.00
1.00
1.00
1.00
1.98
1.39
2.00
2.00
2.00
0.99
1.00
0.99
3.00
3.00
f1 (ppm)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129.72
129.08
128.95
128.89
128.70
128.28
128.16
128.04
127.80
127.13
126.46
125.97
125.37
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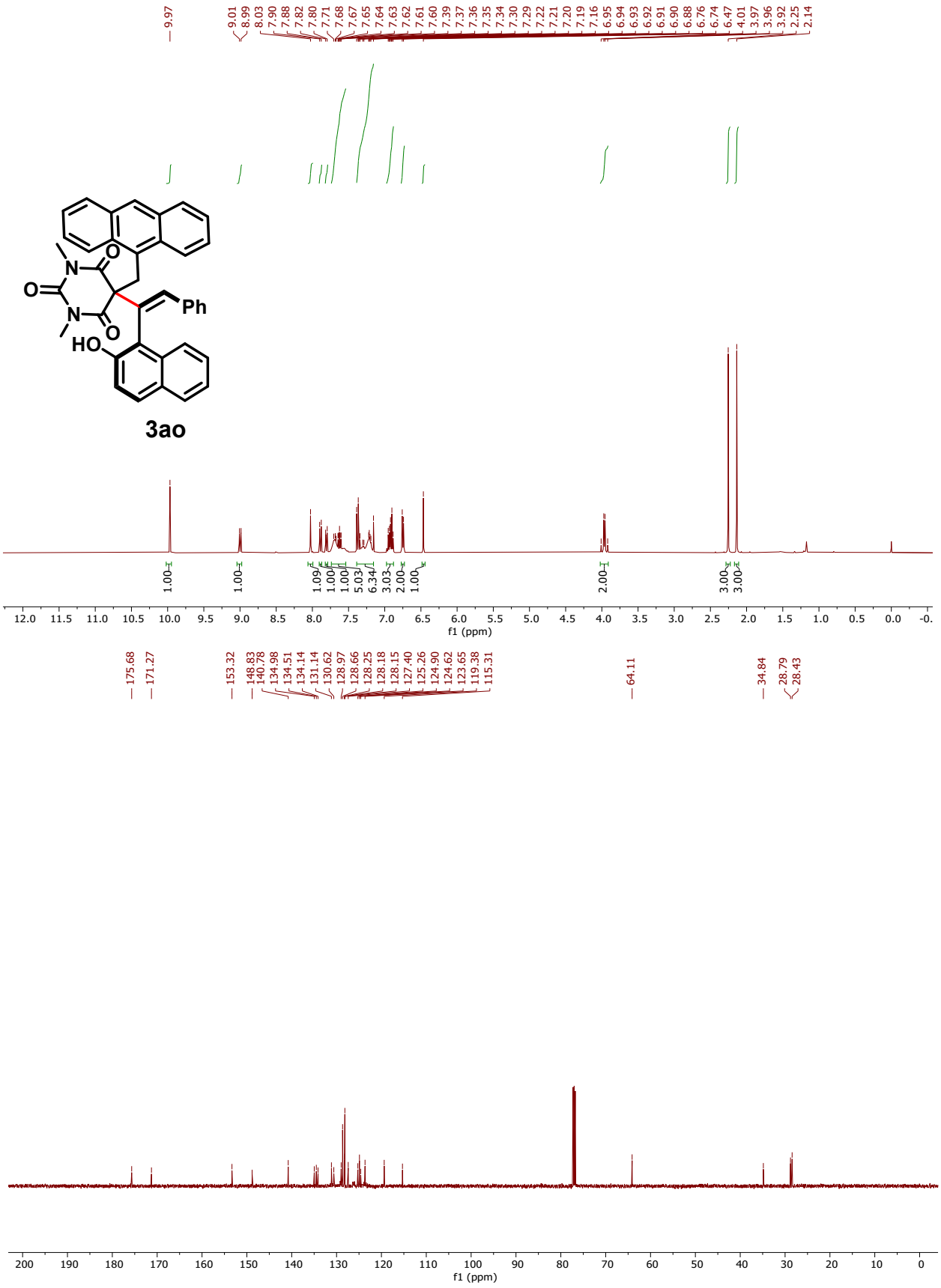
123.52
123.21
119.29
115.15
64.54
40.62
28.90
28.74
f1 (ppm)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8.99
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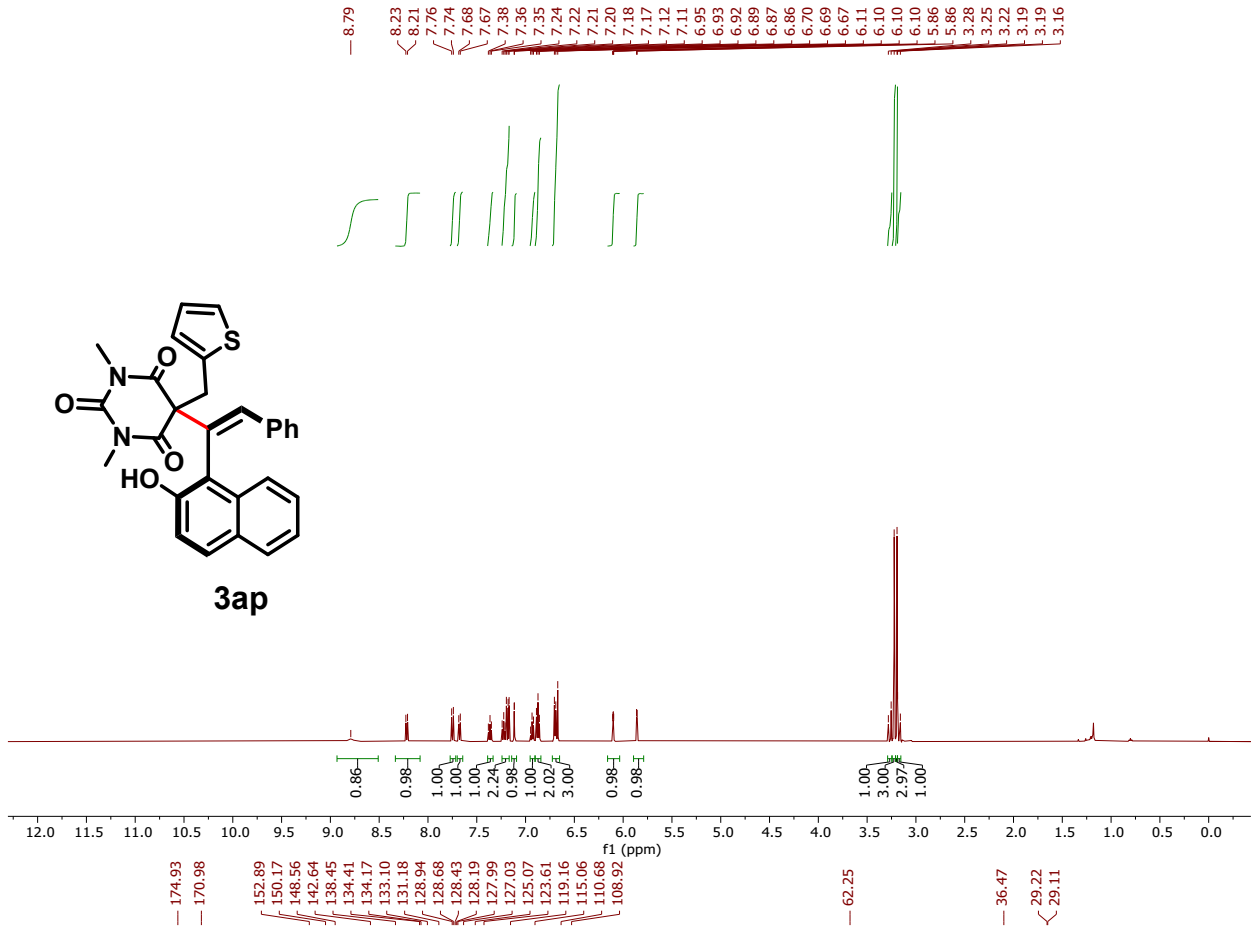
7.71
7.68
7.67
7.65
7.64
7.63
7.62
7.61
7.60
7.39
7.37
7.36
7.35
7.34
7.30
7.29
7.22
7.21
7.20
7.19
7.16
6.95
6.94
6.93
6.92
6.91
6.90
6.88
6.76
6.74
6.47
4.01
3.97
3.96
3.92
2.25
2.14
O
N
O
N
O
HO
Ph
3ao
1.00
1.00
1.09
1.00
1.00
5.03
6.34
3.03
2.00
1.00
2.00
3.00
3.00
f1 (ppm)
175.68
171.27
153.32
148.83
140.78
134.98
134.51
134.14
131.14
130.62
128.97
128.66
128.25
128.18
128.15
127.40
125.26
124.90
124.62
123.65
119.38
115.31
64.11
34.84
28.79
28.43
f1 (ppm)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7.38
7.36
7.35
7.24
7.22
7.21
7.20
7.18
7.17
7.12
7.11
6.95
6.93
6.92
6.89
6.87
6.86
6.70
6.69
6.67
6.11
6.10
6.10
5.86
5.86
3.28
3.25
3.22
3.19
3.19
3.16
S
O
N
O
N
O
Ph
HO
0.86
0.98
1.00
1.00
1.00
2.24
0.98
1.00
2.02
3.00
0.98
0.98
1.00
3.00
2.97
1.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

3ap

174.93
170.98
152.89
150.17
148.56
142.64
138.45
134.41
134.17
133.10
131.18
128.94
128.68
128.43
128.19
127.99
127.03
125.07
123.61
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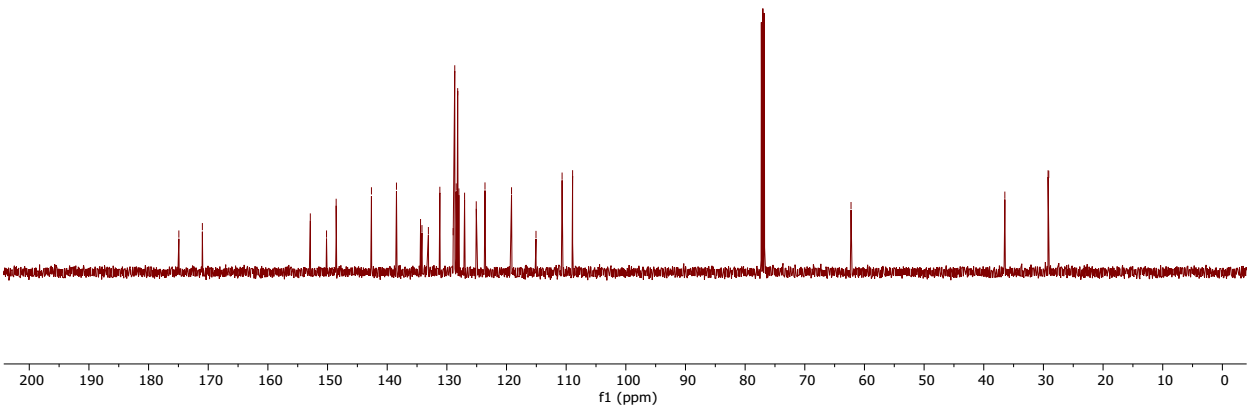
115.06
110.68
108.92
62.25
36.47
29.22
29.11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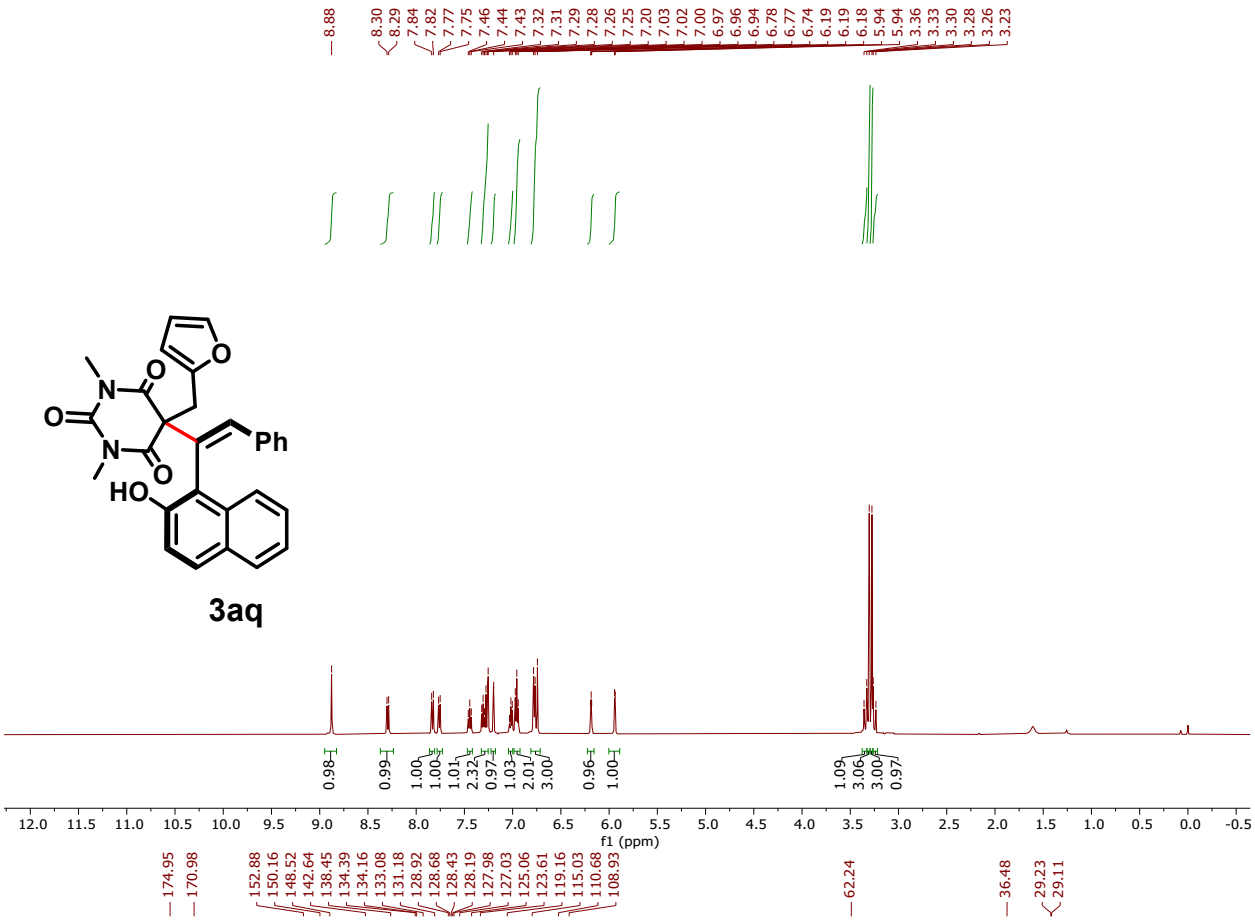
f1 (ppm)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7.46
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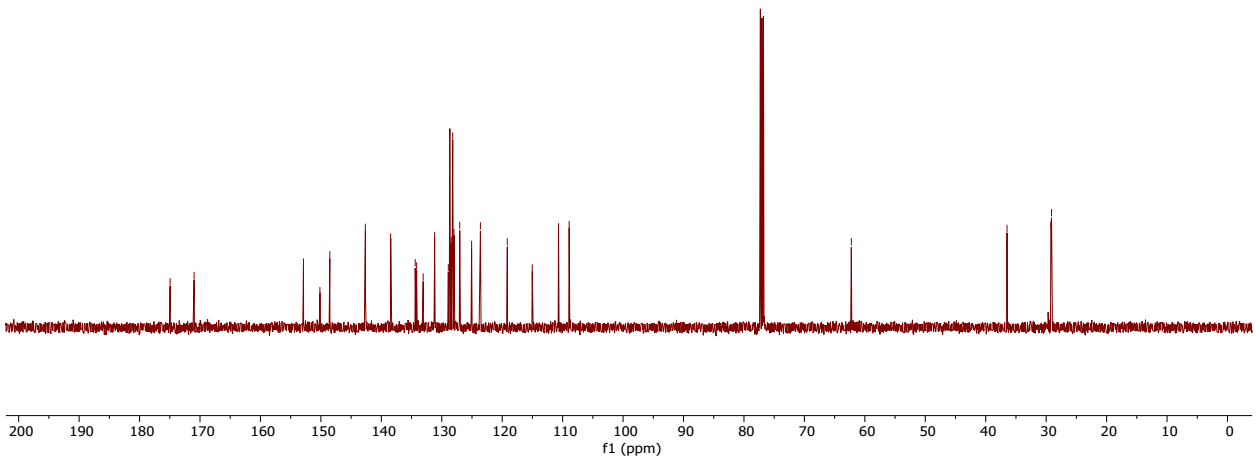
7.43
7.32
7.31
7.29
7.28
7.26
7.25
7.20
7.03
7.02
7.00
6.97
6.96
6.94
6.78
6.77
6.74
6.19
6.19
6.18
5.94
5.94
3.36
3.33
3.30
3.28
3.26
3.23
O
N
O
N
O
HO
Ph
0.98
0.99
1.00
1.00
1.01
2.32
0.97
1.03
2.01
3.00
0.96
1.00
1.09
3.06
3.00
0.97
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

3aq

174.95
170.98
152.88
150.16
148.52
142.64
138.45
134.39
134.16
133.08
131.18
128.92
128.68
128.43
128.19
127.98
127.03
125.06
123.61
119.16
115.03
110.68
108.93
62.24
36.48
29.23
29.11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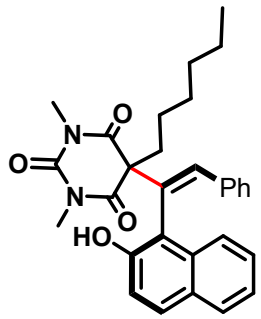
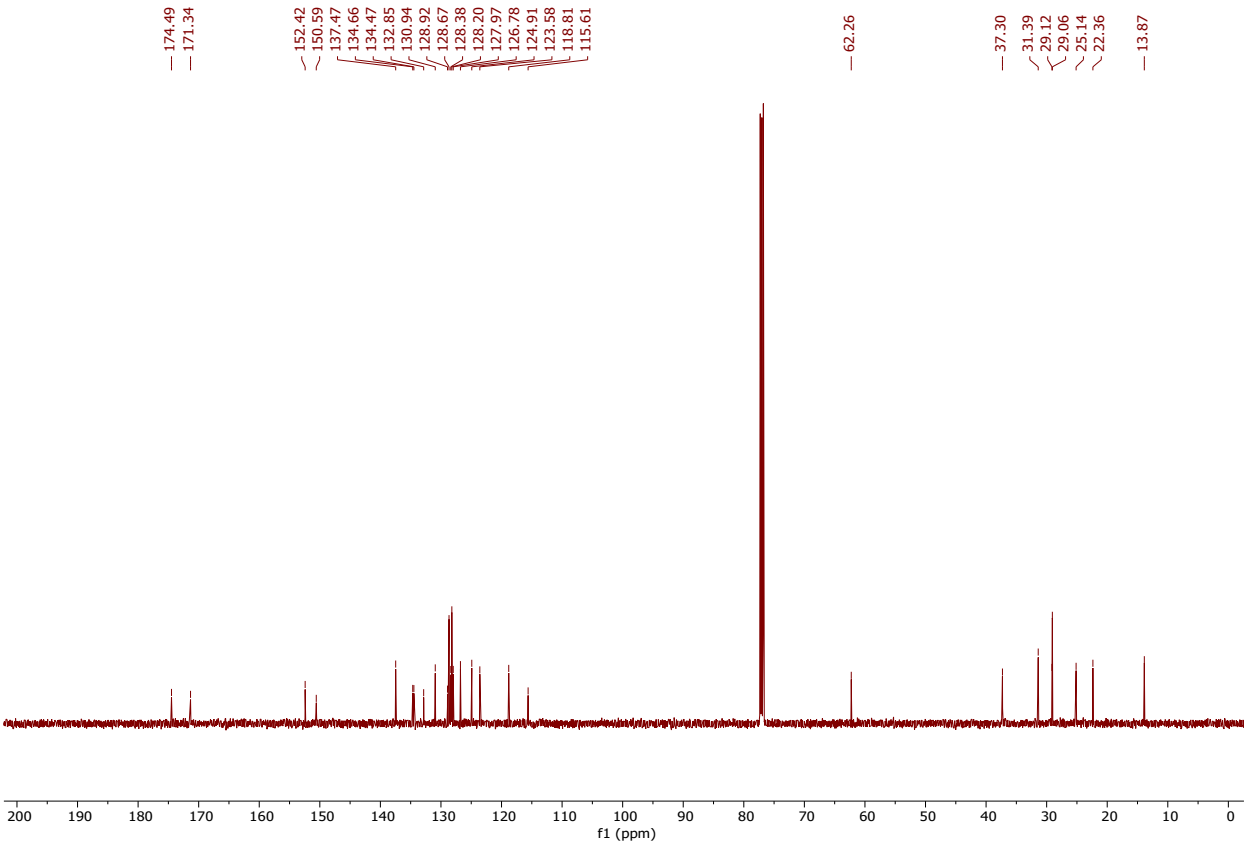
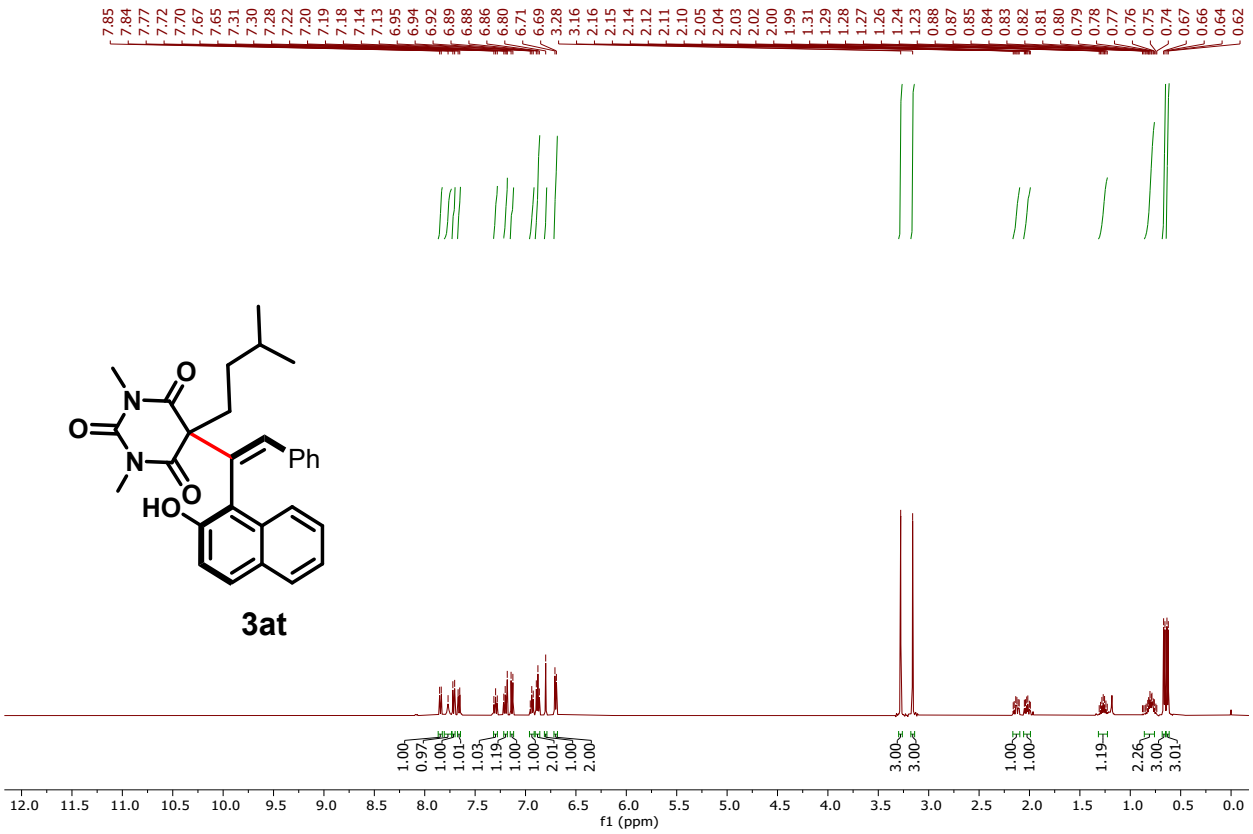
f1 (ppm)


3ar
Ph
HO
f1 (ppm)



3as

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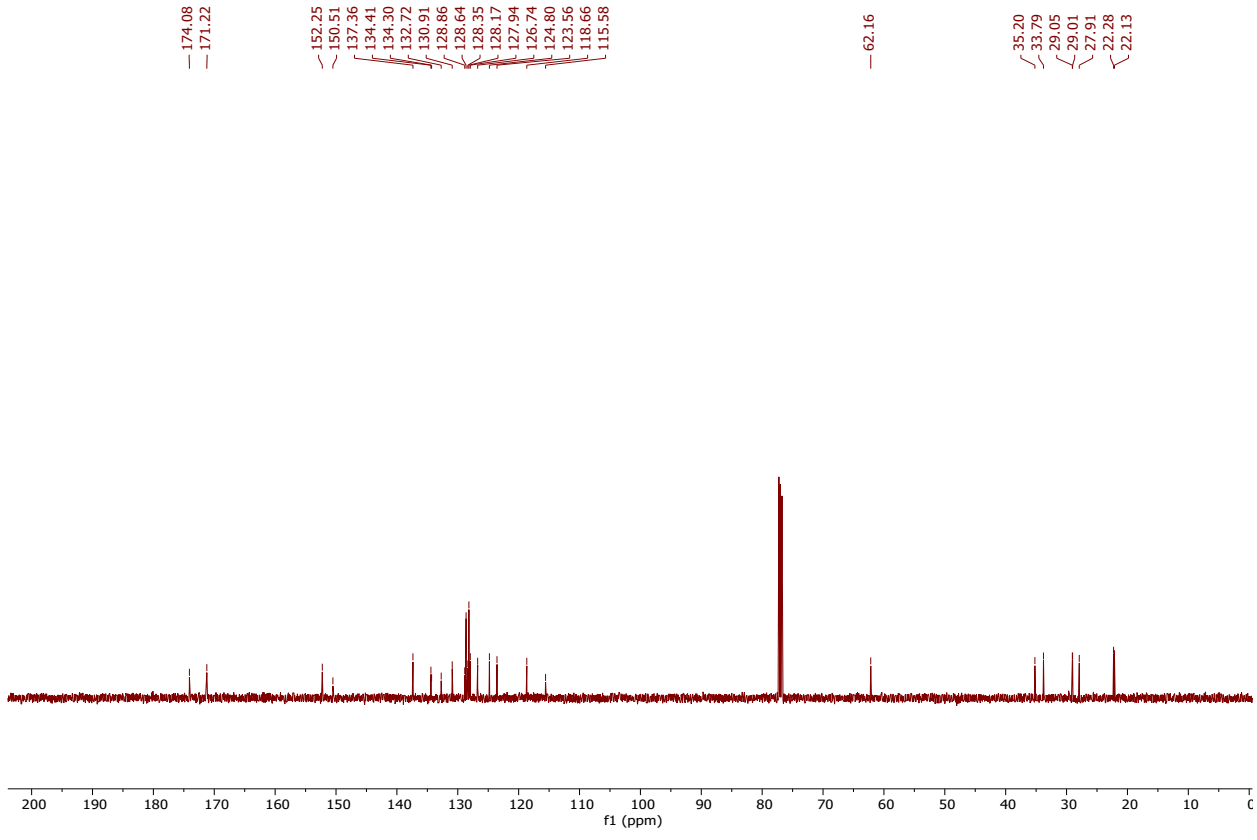
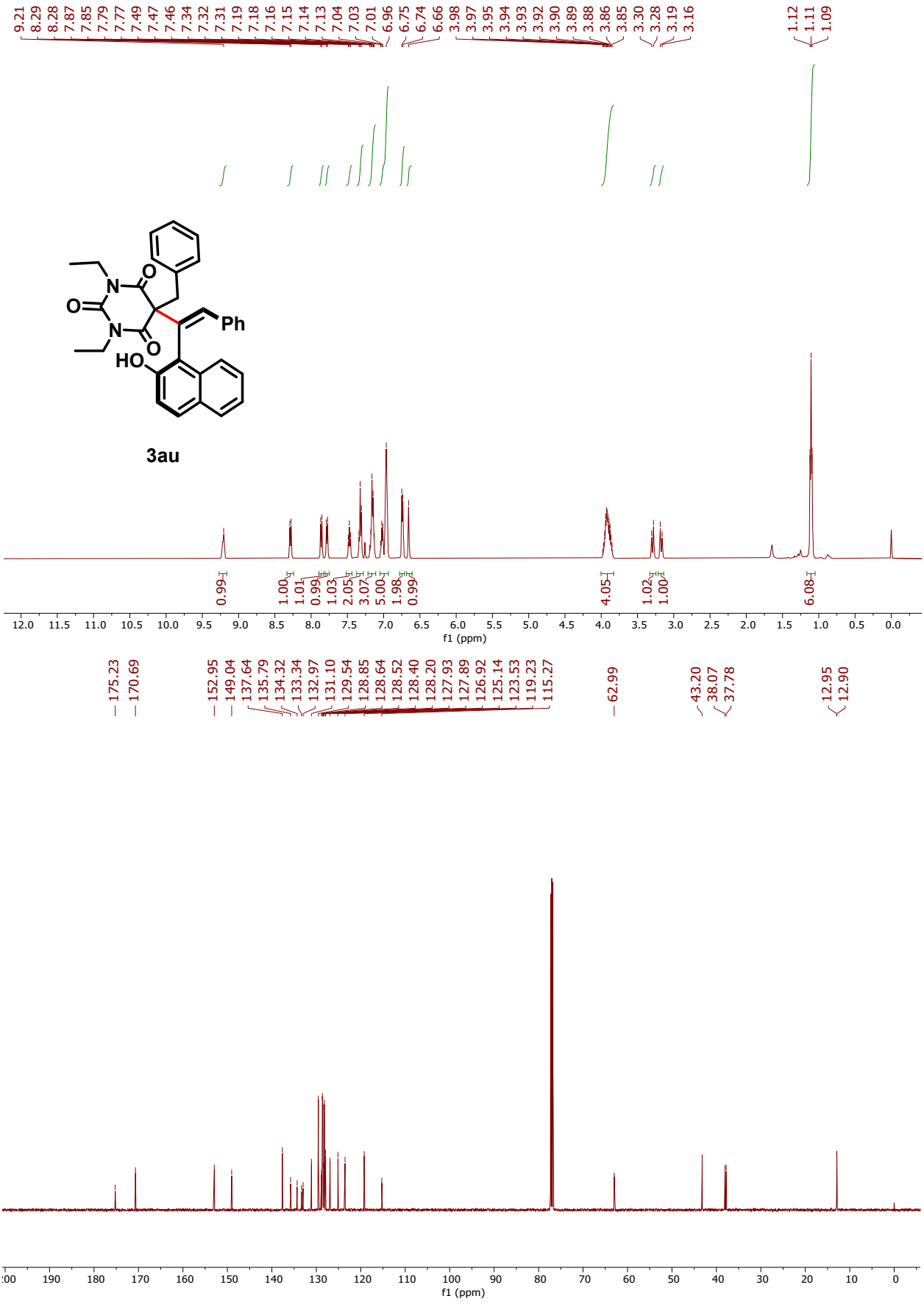
O
N
O
N
O
HO
Ph
3at
1.00 0.97 1.00 1.01 1.03 1.19 1.00 1.00 2.01 1.00 2.00 3.00 3.00 1.00 1.00 1.19 2.26 3.00 3.01
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


174.08 171.22 152.25 150.51 137.36 134.41 134.30 132.72 130.91 128.86 128.64 128.35 128.17 127.94 126.74 124.80 123.56 118.66 115.58 62.16 35.20 33.79 29.05 29.01 27.91 22.28 22.13
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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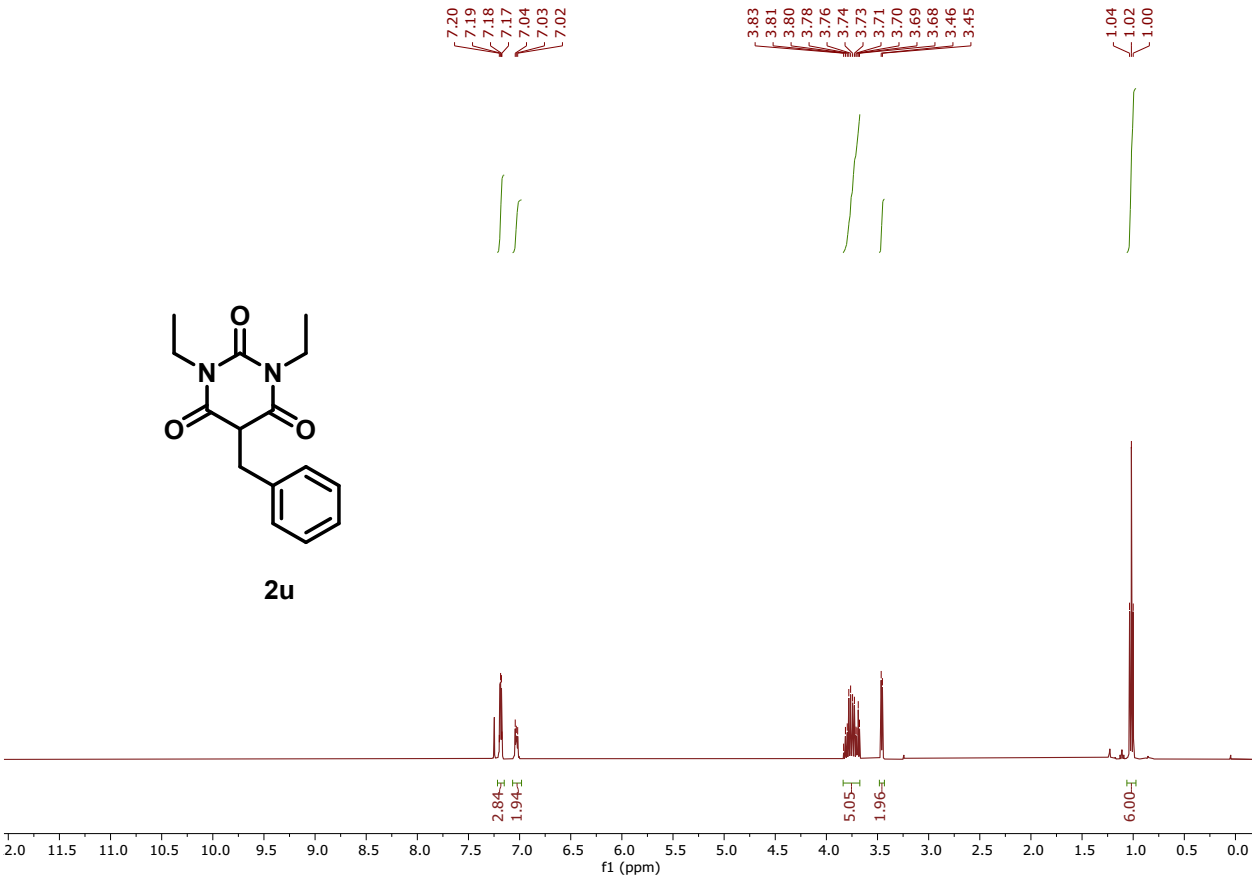
7.46
7.34
7.32
7.31
7.19
7.18
7.16
7.15
7.14
7.13
7.04
7.03
7.01
6.96
6.75
6.74
6.66
3.98
3.97
3.95
3.94
3.93
3.92
3.90
3.89
3.88
3.86
3.85
3.30
3.28
3.19
3.16
1.12
1.11
1.09
O
N
O
N
O
HO
Ph
3au
0.99
1.00
1.01
0.99
1.03
2.05
3.07
5.00
1.98
0.99
4.05
1.02
1.00
6.08
f1 (ppm)
175.23
170.69
152.95
149.04
137.64
135.79
134.32
133.34
132.97
131.10
129.54
128.85
128.64
128.52
128.40
128.20
127.93
127.89
126.92
125.14
123.53
119.23
115.27
62.99
43.20
38.07
37.78
12.95
12.90
f1 (ppm)


7.20
7.19
7.18
7.17
7.04
7.03
7.02
3.83
3.81
3.80
3.78
3.76
3.74
3.73
3.71
3.70
3.69
3.68
3.46
3.45
1.04
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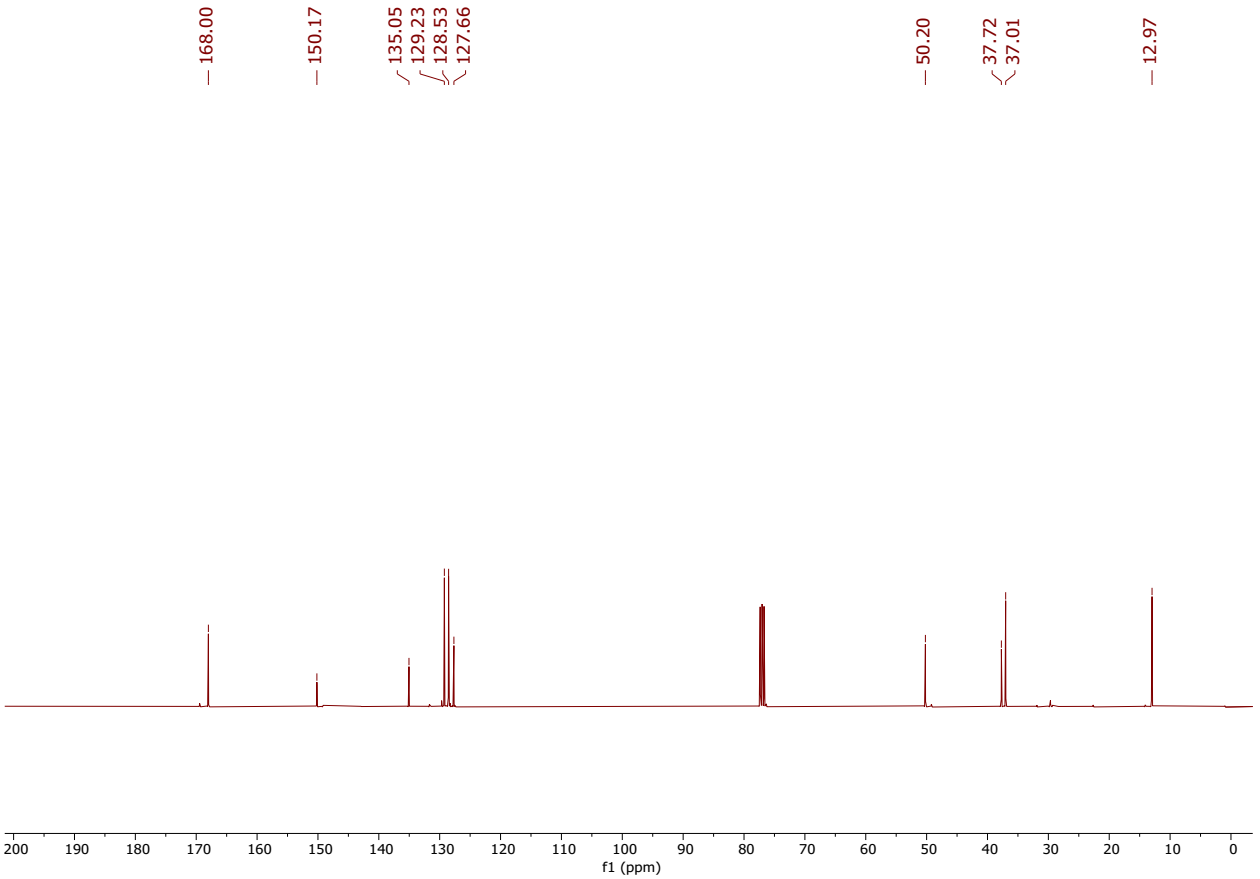
5.05
1.96
6.00
f1 (ppm)

2u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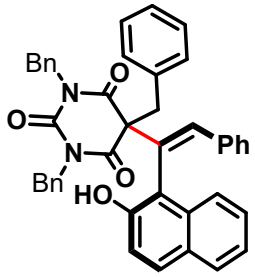
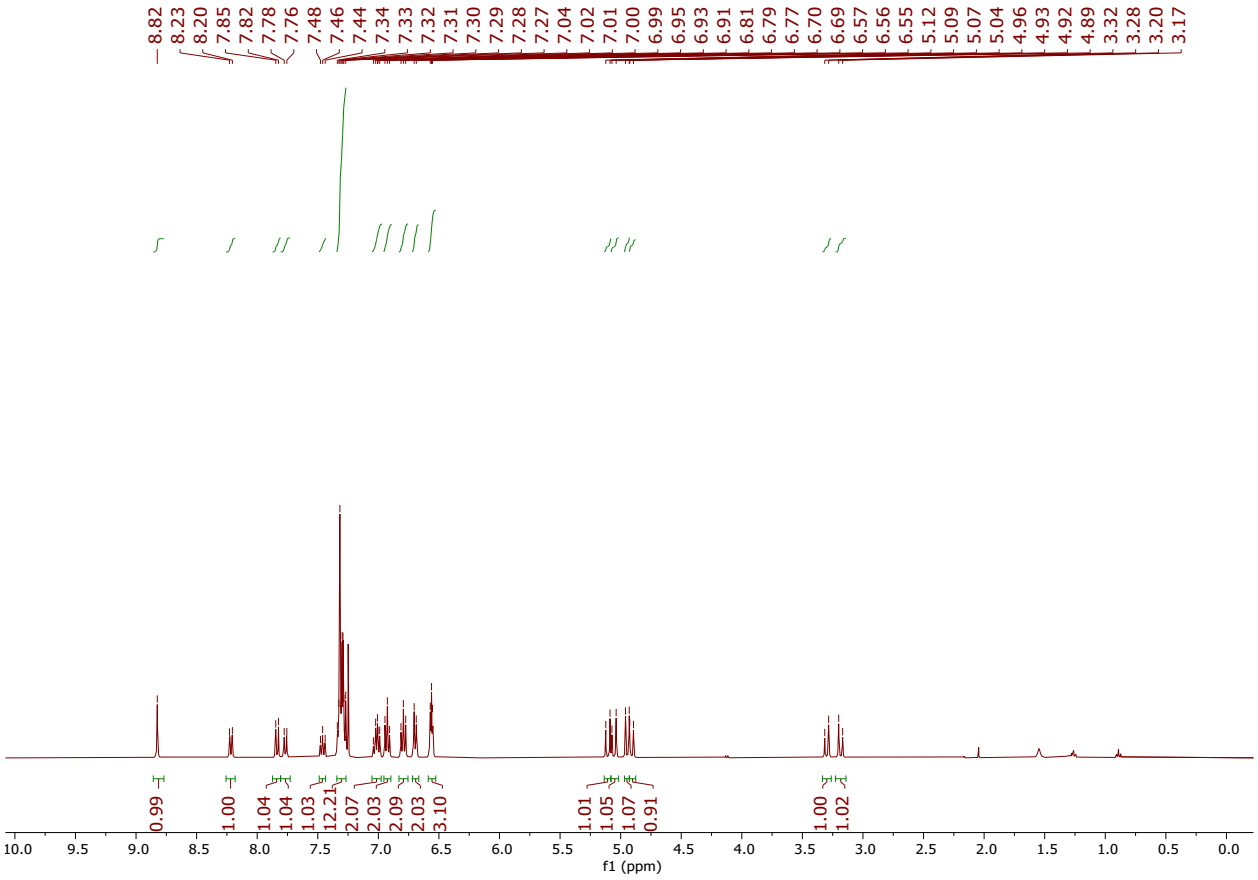
150.17
135.05
129.23
128.53
127.66
50.20
37.72
37.01
12.97
f1 (ppm)



3av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7.78
7.76
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7.46
7.44
7.34
7.33
7.32
7.31
7.30
7.29
7.28
7.27
7.04
7.02
7.01
7.00
6.99
6.95
6.93
6.91
6.81
6.79
6.77
6.70
6.69
6.57
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5.12
5.09
5.07
5.04
4.96
4.93
4.92
4.89
3.32
3.28
3.20
3.17
0.99
1.00
1.04
1.04
1.03
12.21
2.07
2.03
2.09
2.03
3.10
1.01
1.05
1.07
0.91
1.00
1.02
f1 (ppm)


175.12
170.49
152.94
149.81
137.84
135.79
135.70
135.26
134.33
133.27
133.16
131.15
129.46
129.26
129.00
128.90
128.65
128.64
128.62
128.48
128.40
128.13
128.09
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119.20
115.42
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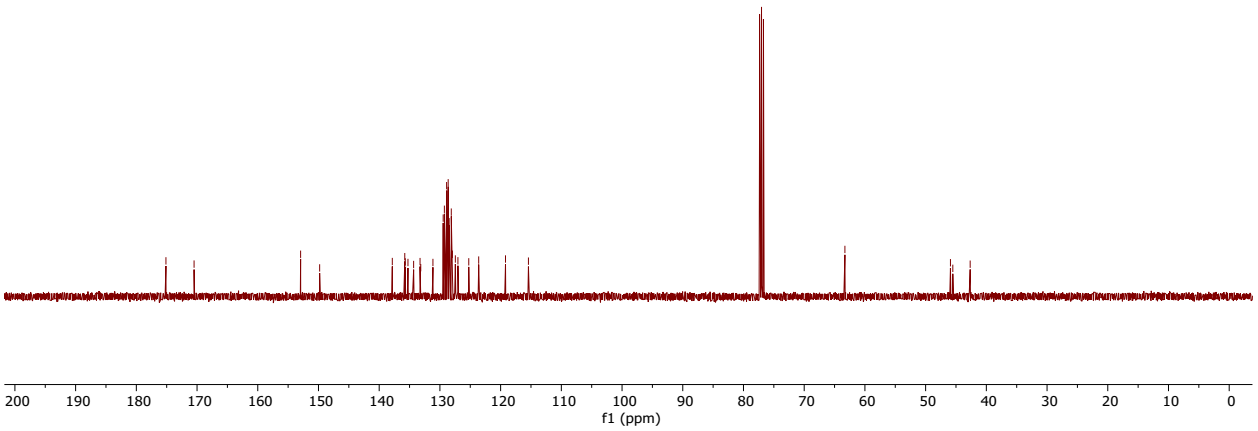
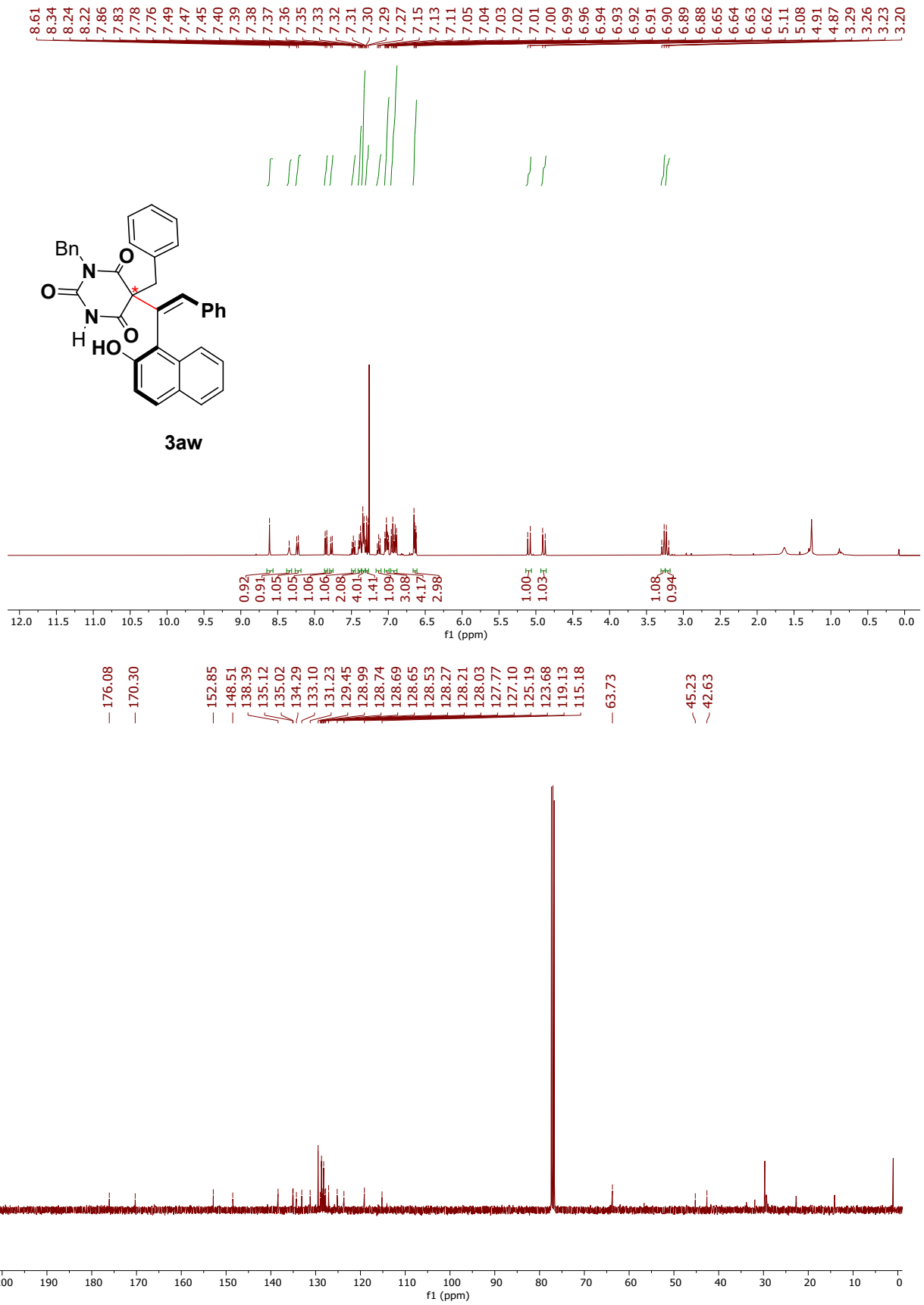
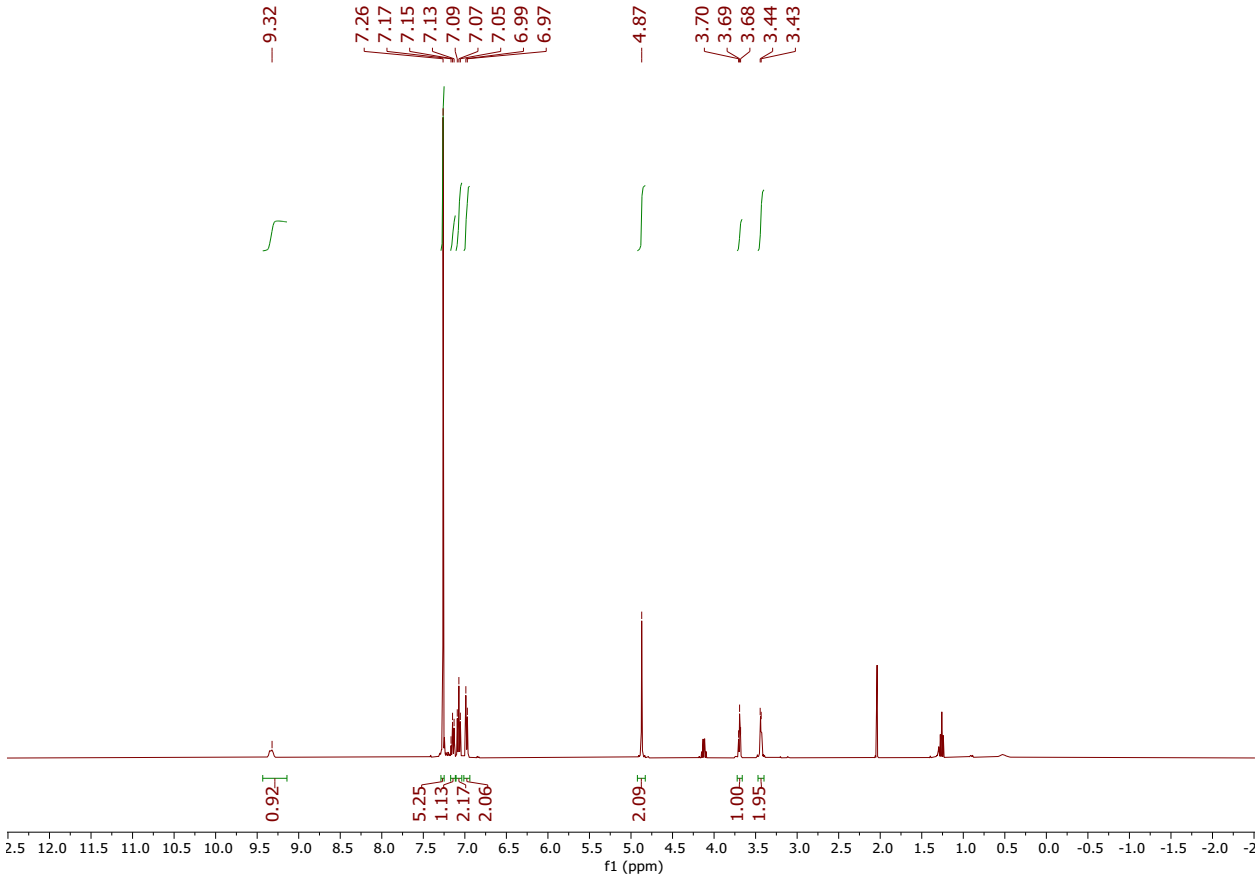
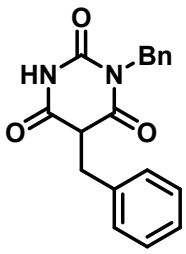
45.91
45.52
42.66


f1 (ppm)

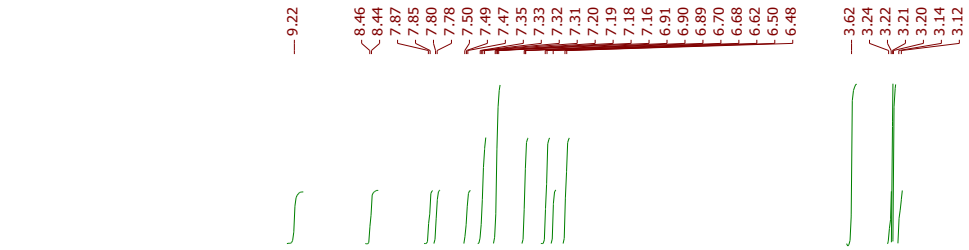

8.61 8.34 8.24 8.22 7.86 7.83 7.78 7.76 7.49 7.47 7.45 7.40 7.39 7.38 7.37 7.36 7.35 7.33 7.32 7.31 7.30 7.29 7.27 7.15 7.13 7.11 7.05 7.04 7.03 7.02 7.01 7.00 6.99 6.96 6.94 6.93 6.92 6.91 6.90 6.89 6.88 6.65 6.64 6.63 6.62 5.11 5.08 4.91 4.87 3.29 3.26 3.23 3.20
Bn
N
O
O
N
H
O
HO
Ph
3aw
0.92 0.91 1.05 1.05 1.06 1.06 2.08 4.01 1.41 1.09 3.08 4.17 2.98 1.00 1.03 1.08 0.94
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
176.08 170.30 152.85 148.51 138.39 135.12 135.02 134.29 133.10 131.23 129.45 128.99 128.74 128.69 128.65 128.53 128.27 128.21 128.03 127.77 127.10 125.19 123.68 119.13 115.18 63.73 45.23 42.63
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.32
7.26
7.17
7.15
7.13
7.09
7.07
7.05
6.99
6.97
4.87
3.70
3.69
3.68
3.44
3.43
0.92
5.25
1.13
2.17
2.06
2.09
1.00
1.95
f1 (ppm)


O
HN
N
Bn
O
O

2w

168.45
150.01
150.00
135.51
135.02
129.68
129.32
129.09
128.95
128.81
128.44
127.91
127.71
49.55
44.13
35.95
f1 (ppm)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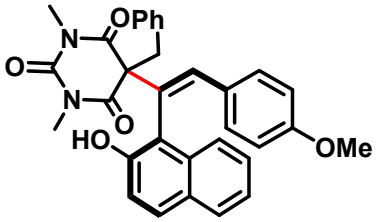
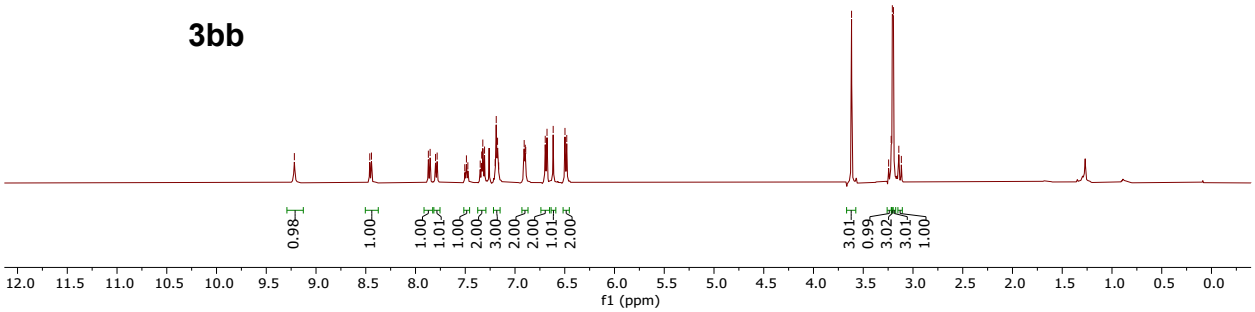
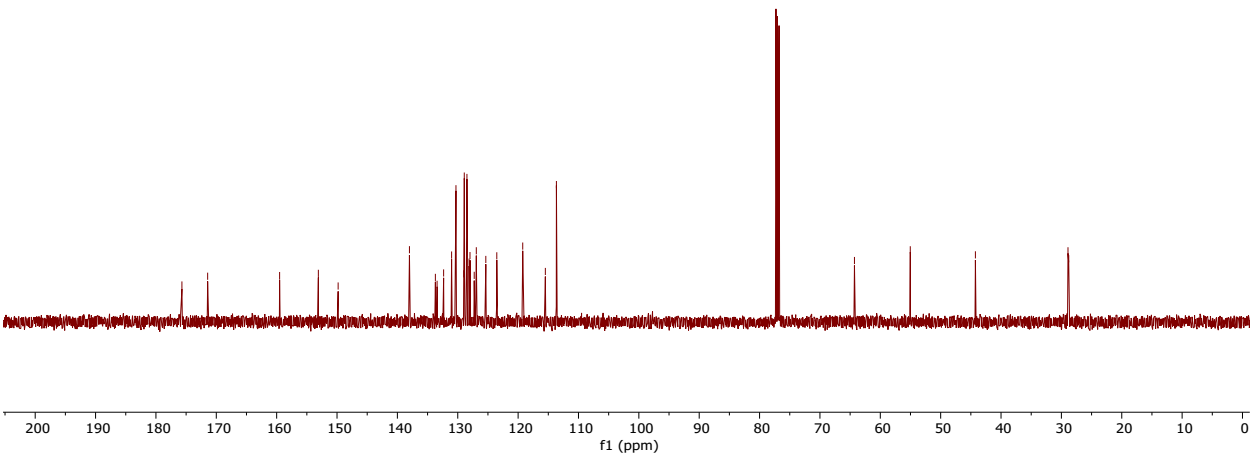
8.44
7.87
7.85
7.80
7.78
7.50
7.49
7.47
7.35
7.33
7.32
7.31
7.20
7.19
7.18
7.16
6.91
6.90
6.89
6.70
6.68
6.62
6.50
6.48
3.62
3.24
3.22
3.21
3.20
3.14
3.12

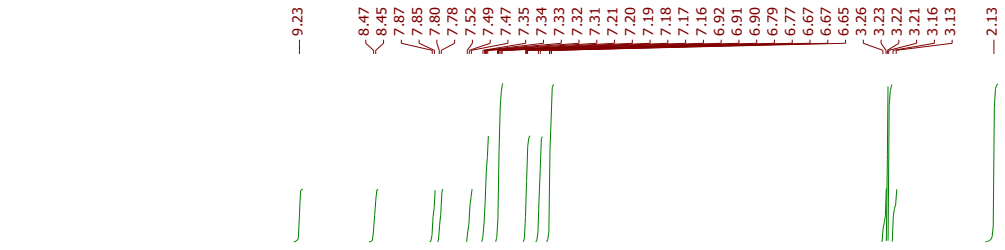

OPh
N
O
N
O
HO
OMe

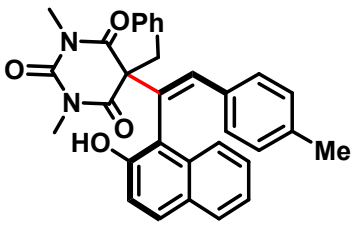
3bb


0.98
1.00
1.00
1.01
1.00
2.00
3.00
2.00
2.00
1.01
2.00
3.01
0.99
3.02
3.01
1.00
12.0
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

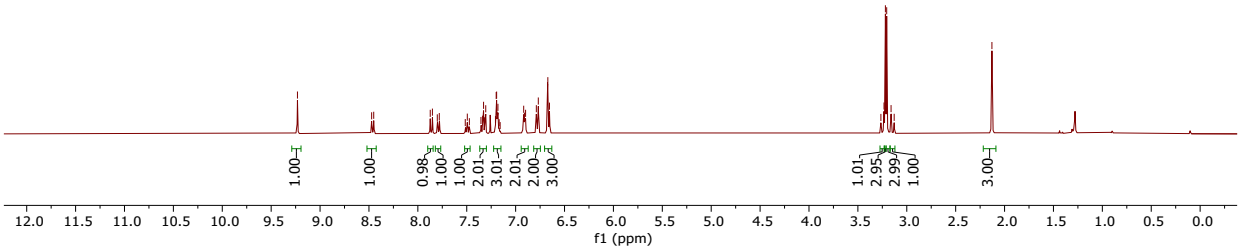

175.70
171.43
159.51
153.09
149.81
138.00
133.71
133.42
132.34
131.02
130.30
129.00
128.92
128.48
128.13
127.97
127.27
126.93
125.35
123.53
119.24
115.49
113.66
64.28
55.03
44.24
28.91
28.78


200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

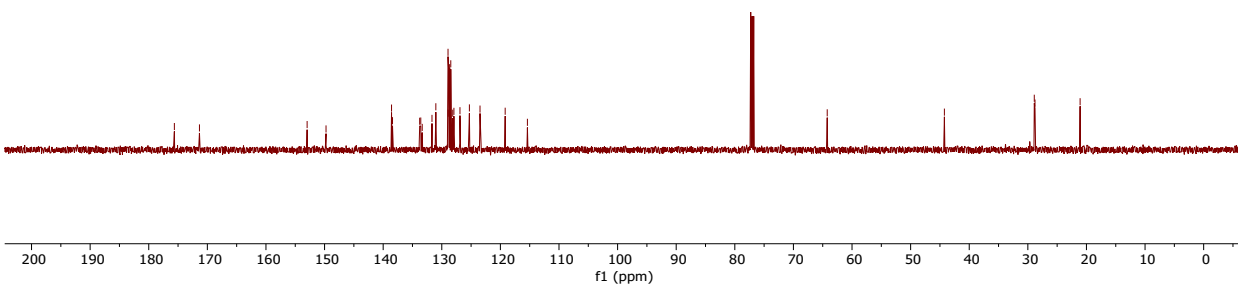

9.23
8.47
8.45
7.87
7.85
7.80
7.78
7.52
7.49
7.47
7.35
7.34
7.33
7.32
7.31
7.21
7.20
7.19
7.18
7.17
7.16
6.92
6.91
6.90
6.79
6.77
6.67
6.67
6.65
3.26
3.23
3.22
3.21
3.16
3.13
2.13

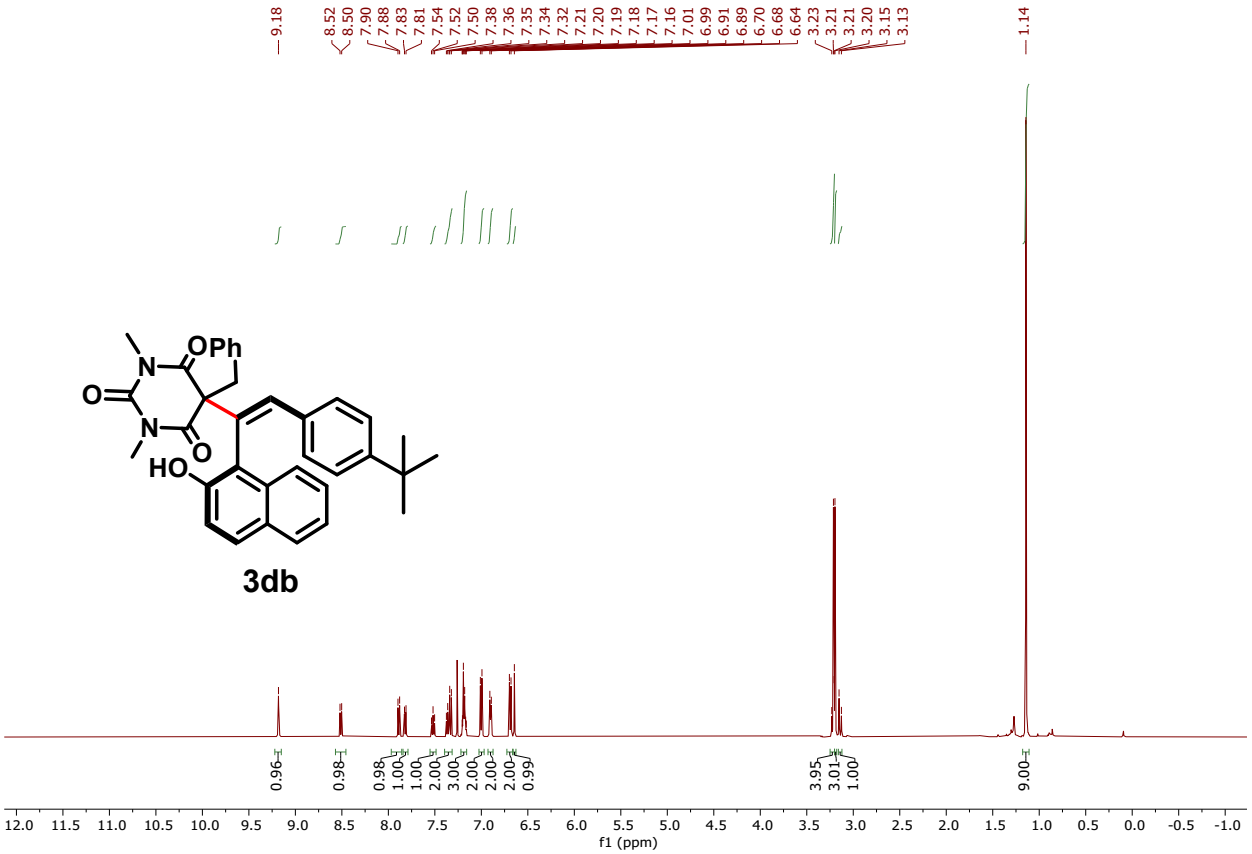

OPh
N
O
N
O
HO
Me

3cb

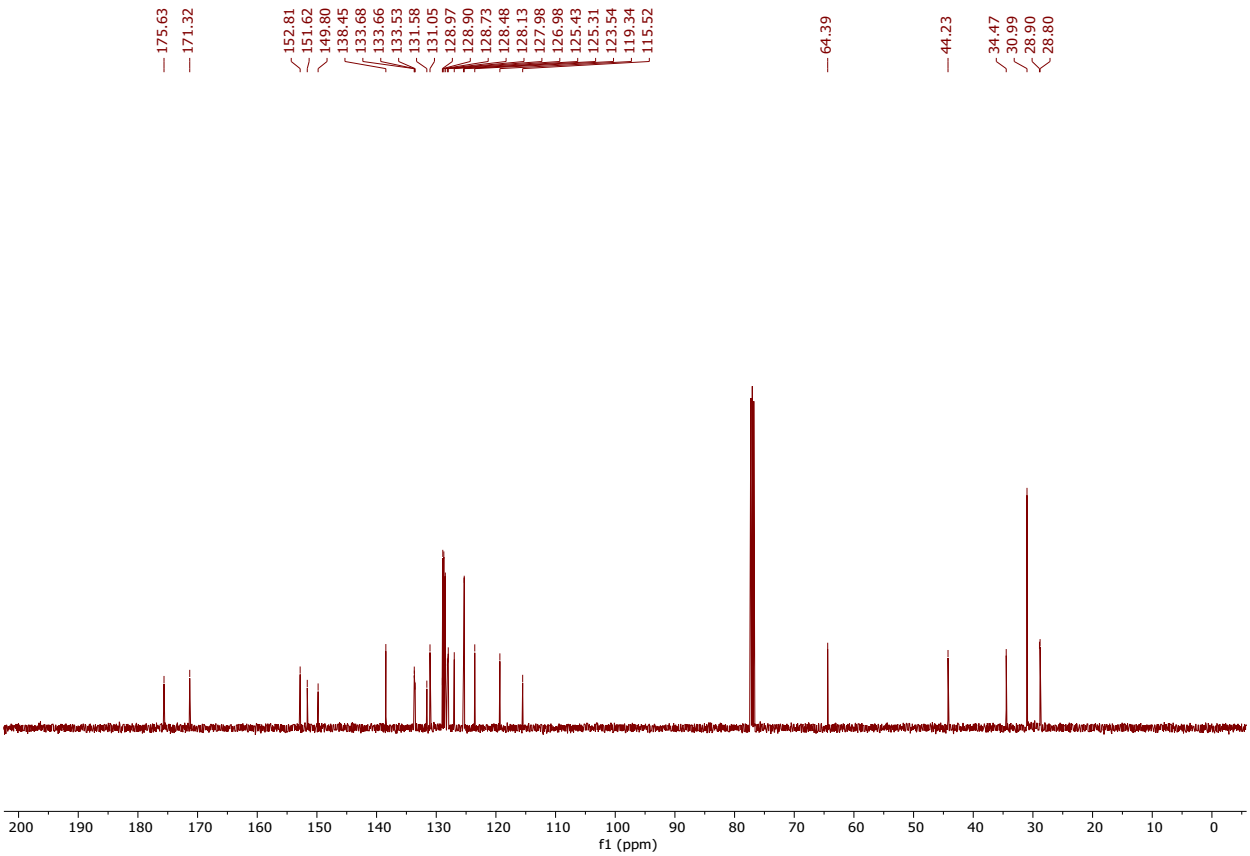

1.00
1.00
0.98
1.00
1.00
2.01
3.01
2.01
2.00
3.00
1.01
2.95
2.99
1.00
3.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

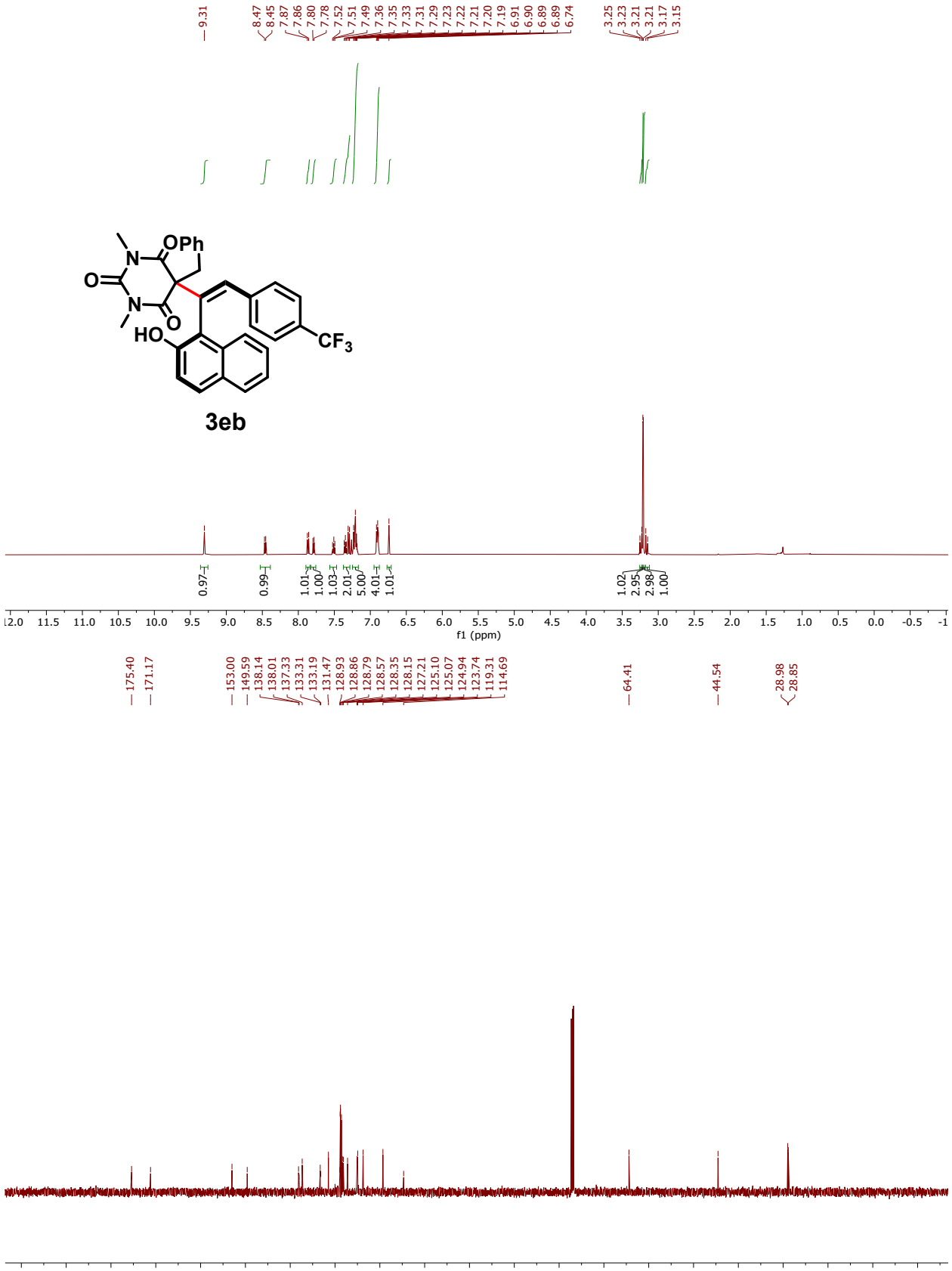

175.63
171.35
152.98
149.75
138.57
138.41
133.77
133.58
133.34
131.67
131.01
128.93
128.90
128.85
128.66
128.46
128.13
127.93
126.90
125.29
123.48
119.18
115.39
64.23
44.24
28.90
28.78
21.08


200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.18
8.52
8.50
7.90
7.88
7.83
7.81
7.54
7.52
7.50
7.38
7.36
7.35
7.34
7.32
7.21
7.20
7.19
7.18
7.17
7.16
7.01
6.99
6.91
6.89
6.70
6.68
6.64
3.23
3.21
3.21
3.20
3.15
3.13
1.14
OPh
N
O
N
O
HO
0.96
0.98
0.98
1.00
1.00
2.00
3.00
2.00
2.00
2.00
0.99
3.95
3.01
1.00
9.00
f1 (ppm)

3db


175.63
171.32
152.81
151.62
149.80
138.45
133.68
133.66
133.53
131.58
131.05
128.97
128.90
128.73
128.48
128.13
127.98
126.98
125.43
125.31
123.54
119.34
115.52
64.39
44.23
34.47
30.99
28.90
28.80
f1 (ppm)


9.31
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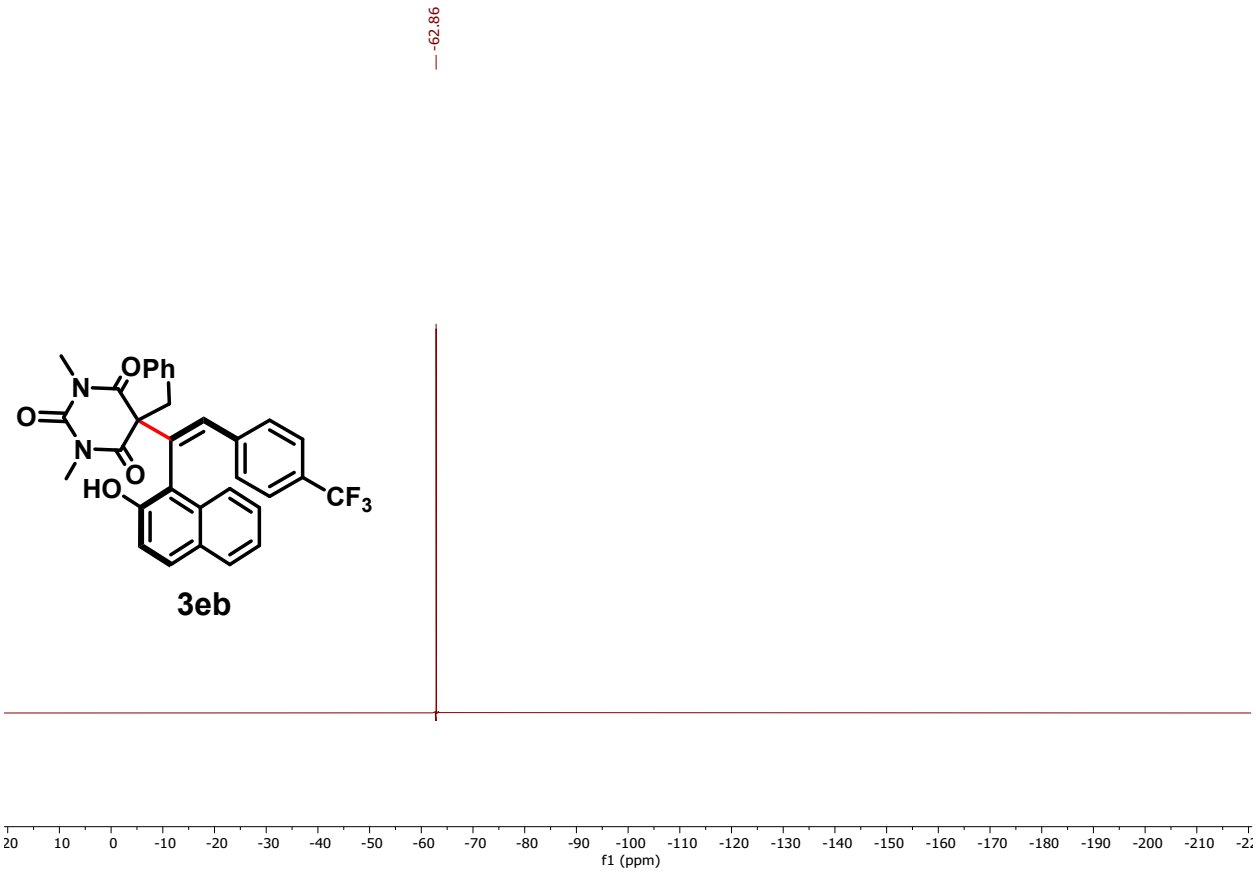
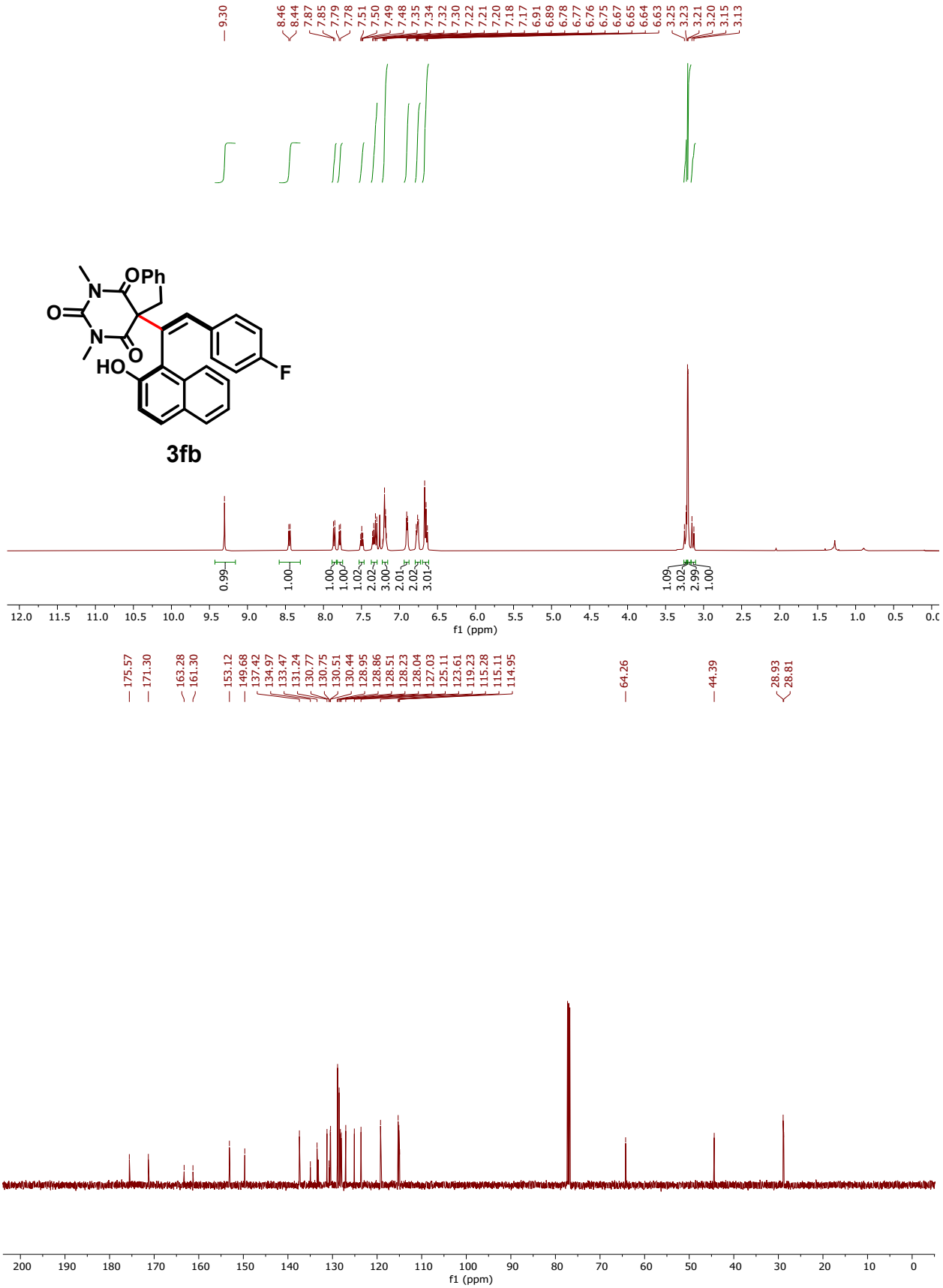
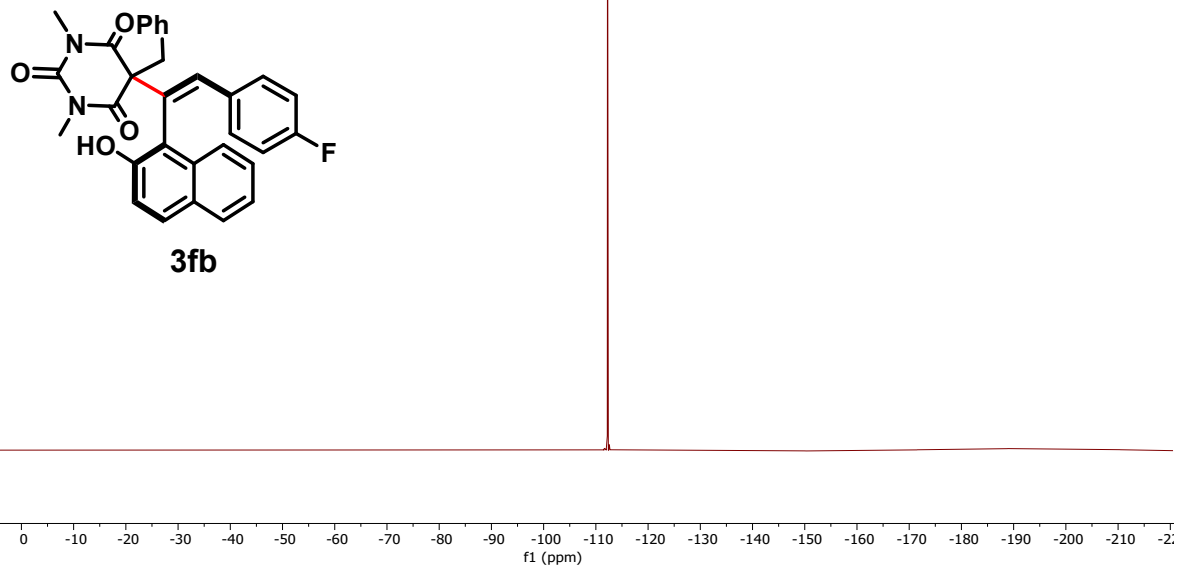
8.45
7.87
7.86
7.80
7.78
7.52
7.51
7.49
7.36
7.35
7.33
7.31
7.29
7.23
7.22
7.21
7.20
7.19
6.91
6.90
6.89
6.89
6.74
3.25
3.23
3.21
3.21
3.17
3.15
OPh
N
O
N
O
HO
CF3
0.97
0.99
1.01
1.00
1.03
2.01
5.00
4.01
1.01
1.02
2.95
2.98
1.00
f1 (ppm)
175.40
171.17
153.00
149.59
138.14
138.01
137.33
133.31
133.19
131.47
128.93
128.86
128.79
128.57
128.35
128.15
127.21
125.10
125.07
124.94
123.74
119.31
114.69
64.41
44.54
28.98
28.85
f1 (ppm)

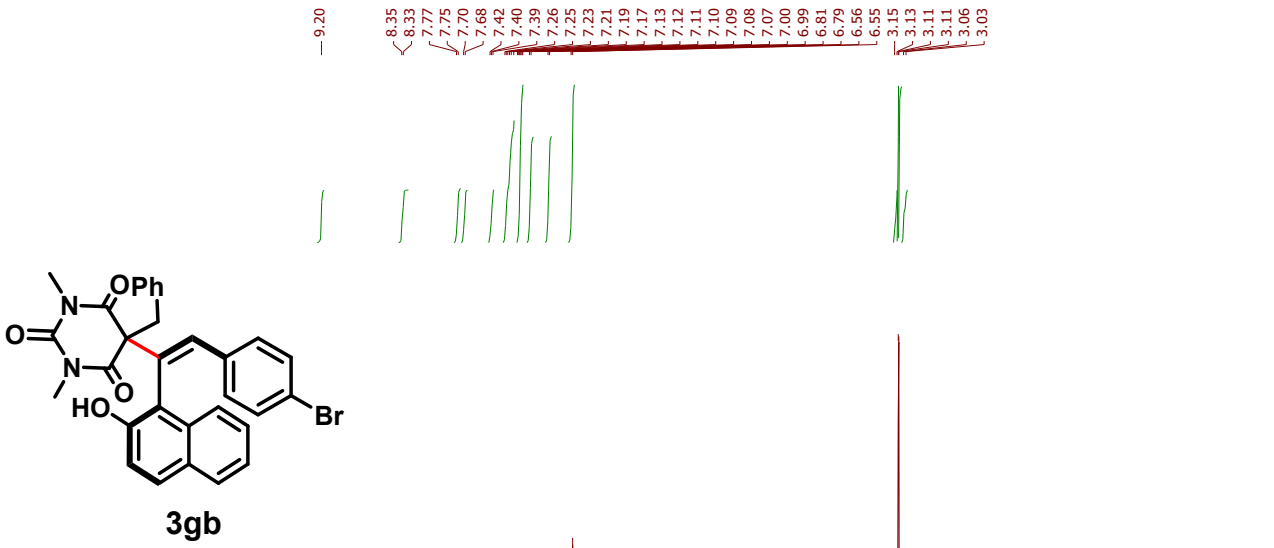
3eb


-62.86
OPh
N
O
N
O
HO
CF3
3eb
20
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)


9.30
8.46
8.44
7.87
7.85
7.79
7.78
7.51
7.50
7.49
7.48
7.35
7.34
7.32
7.30
7.22
7.21
7.20
7.18
7.17
6.91
6.89
6.78
6.77
6.76
6.75
6.67
6.65
6.64
6.63
3.25
3.23
3.21
3.20
3.15
3.13
OPh
N
O
N
O
HO
F
3fb
0.99
1.00
1.00
1.00
1.02
2.02
3.00
2.01
2.02
3.01
1.09
3.02
2.99
1.00
f1 (ppm)
175.57
171.30
163.28
161.30
153.12
149.68
137.42
134.97
133.47
131.24
130.77
130.75
130.51
130.44
128.95
128.86
128.51
128.23
128.04
127.03
125.11
123.61
119.23
115.28
115.11
114.95
64.26
44.39
28.93
28.81
f1 (ppm)


-112.23
OPh
N
O
N
O
HO
F
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

3fb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8.35
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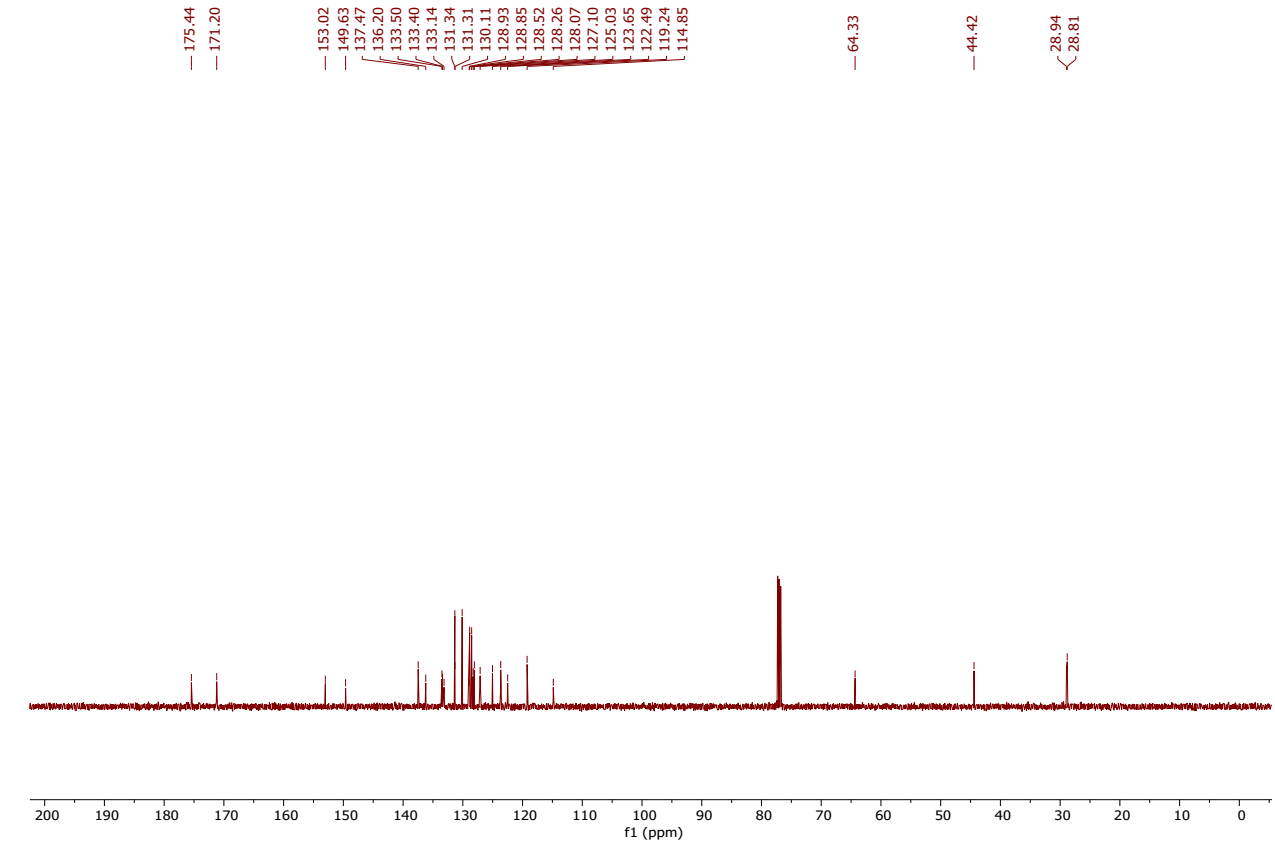
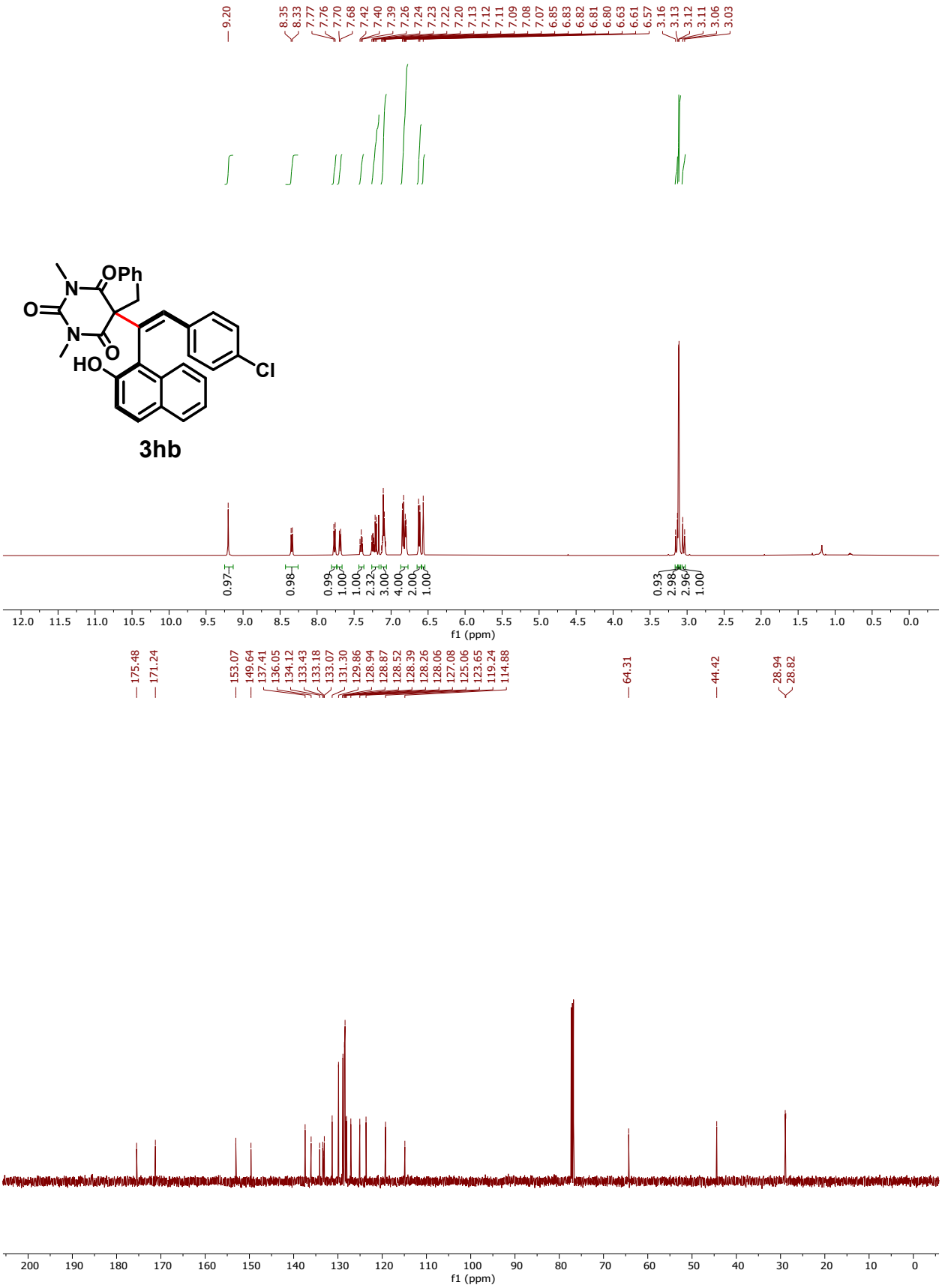
7.70
7.68
7.42
7.40
7.39
7.26
7.25
7.23
7.21
7.19
7.17
7.13
7.12
7.11
7.10
7.09
7.08
7.07
7.00
6.99
6.81
6.79
6.56
6.55
3.15
3.13
3.11
3.11
3.06
3.03
OPh
N
O
N
O
HO
Br
1.00
1.00
1.03
1.00
1.01
2.32
3.00
2.01
2.02
3.01
1.00
2.98
2.97
1.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

3gb


175.44
171.20
153.02
149.63
137.47
136.20
133.50
133.40
133.14
131.34
131.31
130.11
128.93
128.85
128.52
128.26
128.07
127.10
125.03
123.65
122.49
119.24
114.85
64.33
44.42
28.94
28.81
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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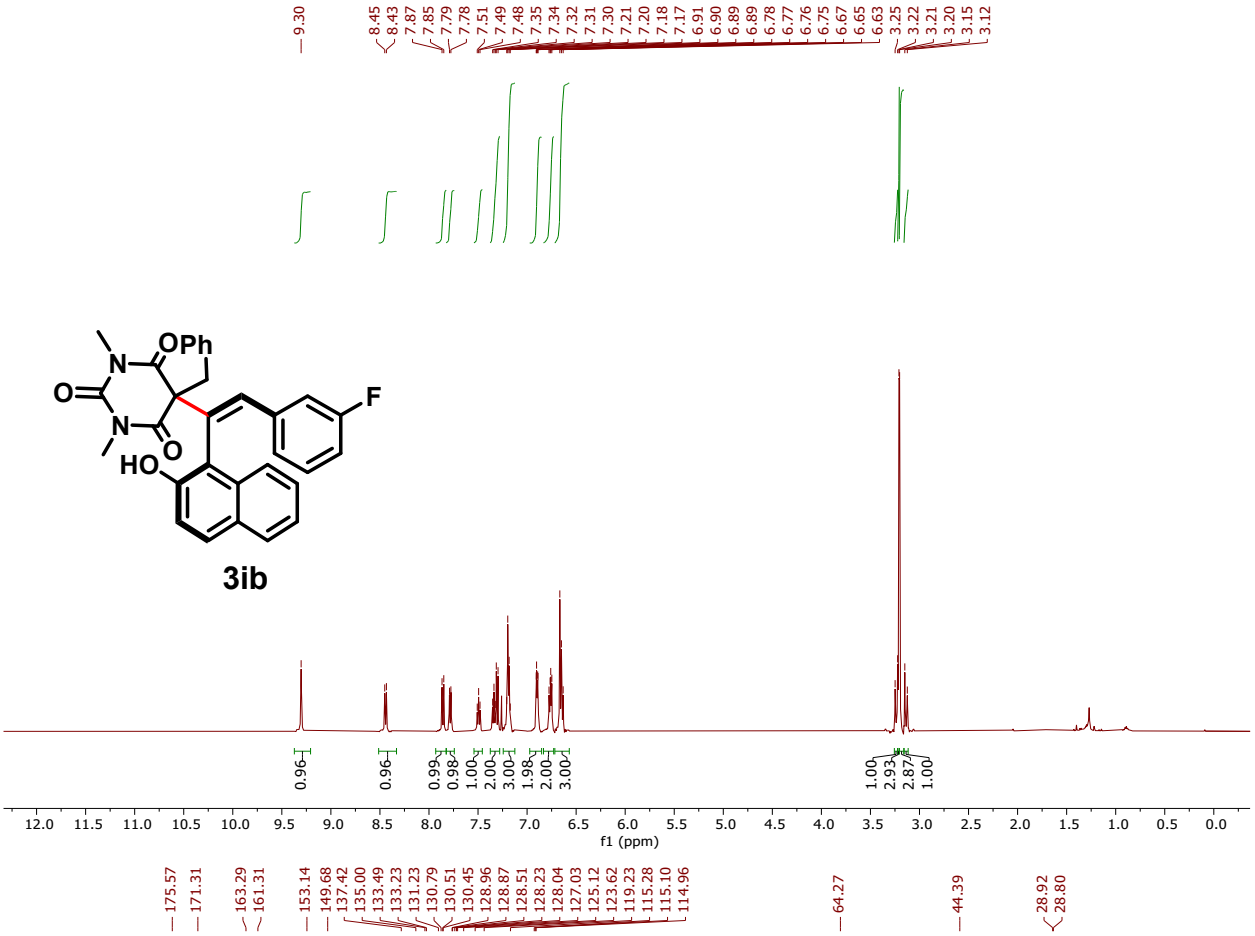
8.35
8.33
7.77
7.76
7.70
7.68
7.42
7.40
7.39
7.26
7.24
7.23
7.22
7.20
7.13
7.12
7.11
7.09
7.08
7.07
6.85
6.83
6.82
6.81
6.80
6.63
6.61
6.57
3.16
3.13
3.12
3.11
3.06
3.03
OPh
N
O
N
O
HO
Cl
3hb
0.97
0.98
0.99
1.00
1.00
2.32
3.00
4.00
2.00
1.00
0.93
2.98
2.96
1.00
f1 (ppm)
175.48
171.24
153.07
149.64
137.41
136.05
134.12
133.43
133.18
133.07
131.30
129.86
128.94
128.87
128.52
128.39
128.26
128.06
127.08
125.06
123.65
119.24
114.88
64.31
44.42
28.94
28.82
f1 (ppm)


9.30
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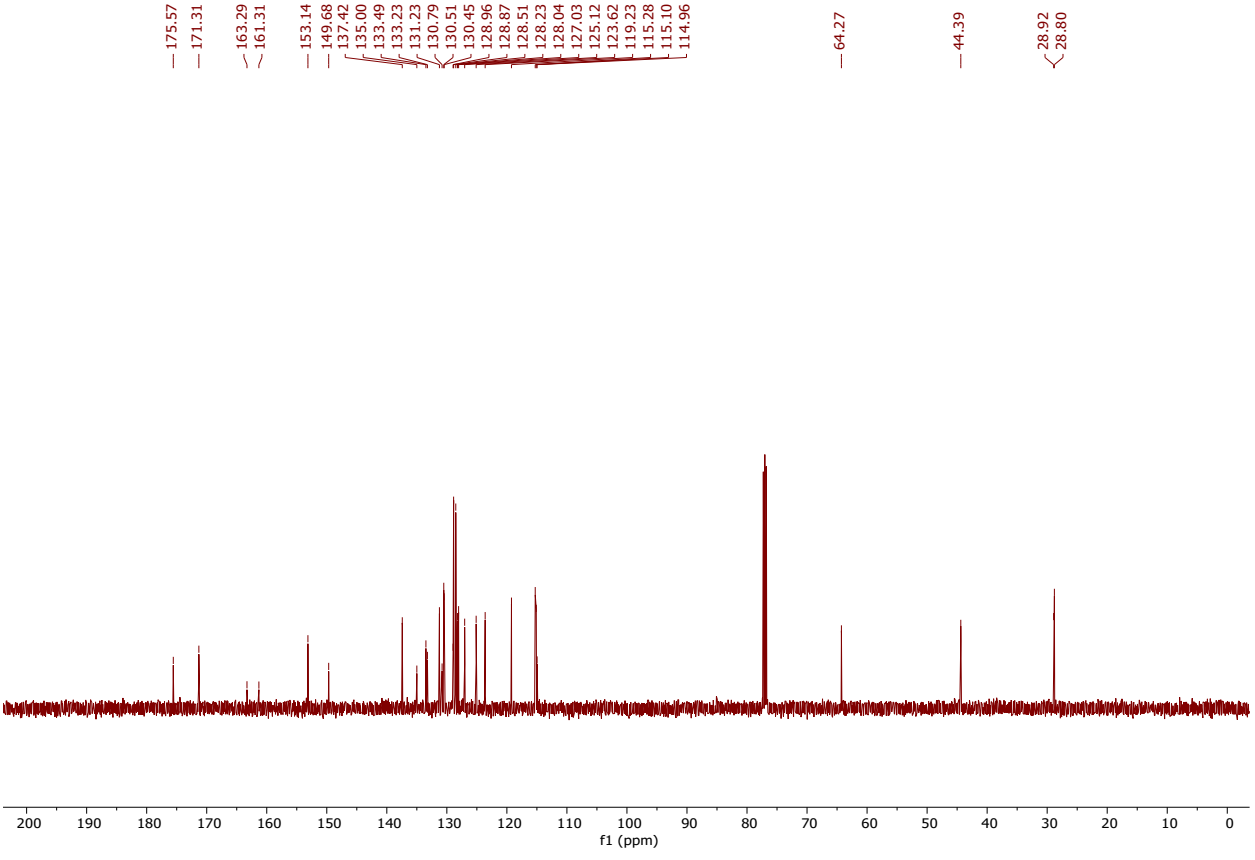
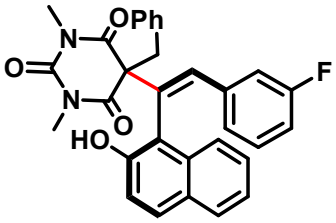
8.43
7.87
7.85
7.79
7.78
7.51
7.49
7.48
7.35
7.34
7.32
7.31
7.30
7.21
7.20
7.18
7.17
6.91
6.90
6.89
6.89
6.78
6.77
6.76
6.75
6.67
6.65
6.63
3.25
3.22
3.21
3.20
3.15
3.12
OPh
N
O
N
O
HO
F
0.96
0.96
0.99
0.98
1.00
2.00
3.00
1.98
2.00
3.00
1.00
2.93
2.87
1.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

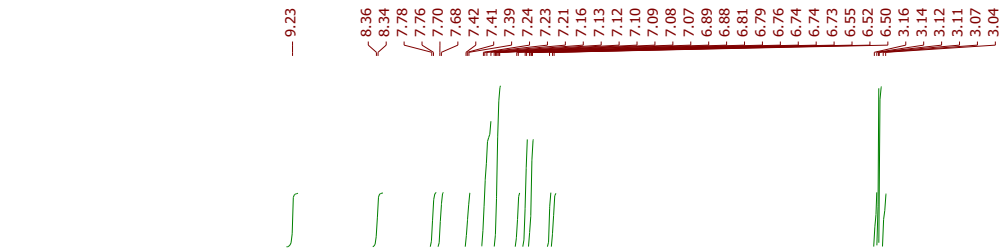
3ib


175.57
171.31
163.29
161.31
153.14
149.68
137.42
135.00
133.49
133.23
131.23
130.79
130.51
130.45
128.96
128.87
128.51
128.23
128.04
127.03
125.12
123.62
119.23
115.28
115.10
114.96
64.27
44.39
28.92
28.80
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


OPh
N
O
N
O
HO
F

3ib

-113.11
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)


9.23
8.36
8.34
7.78
7.76
7.70
7.68
7.42
7.41
7.39
7.24
7.23
7.21
7.16
7.13
7.12
7.10
7.09
7.08
7.07
6.89
6.88
6.81
6.79
6.76
6.74
6.74
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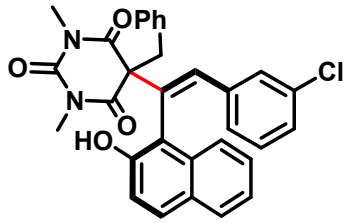
6.55
6.52
6.50
3.16
3.14
3.12
3.11
3.07
3.04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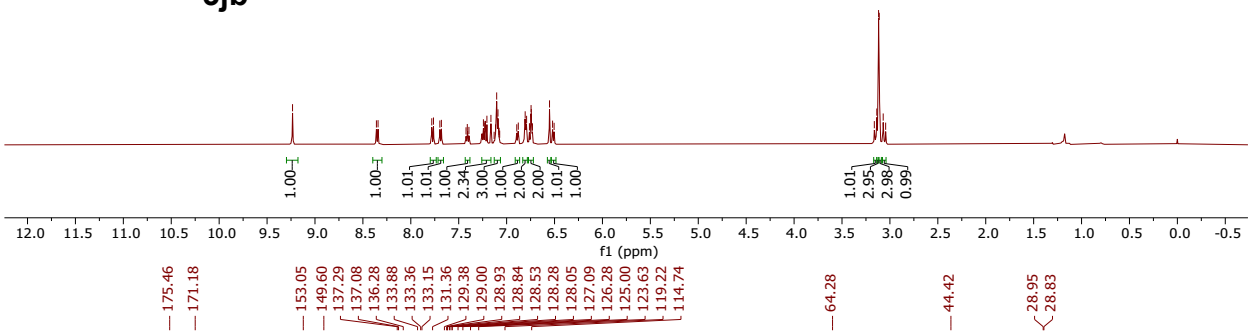
O
N
O
HO
Cl

3jb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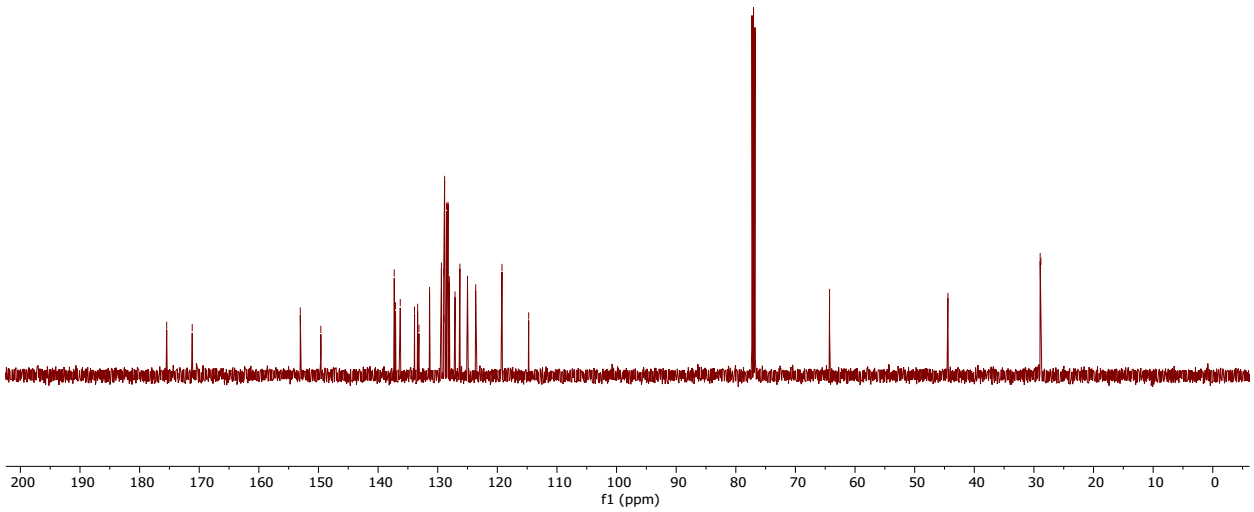
1.01
1.01
1.00
2.34
3.00
1.00
2.00
2.00
1.01
1.00
1.01
2.95
2.98
0.99
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

175.46
171.18
153.05
149.60
137.29
137.08
136.28
133.88
133.36
133.15
131.36
129.38
129.00
128.93
128.84
128.53
128.28
128.05
127.09
126.28
125.00
123.63
119.22
114.74
64.28
44.42
28.95
28.83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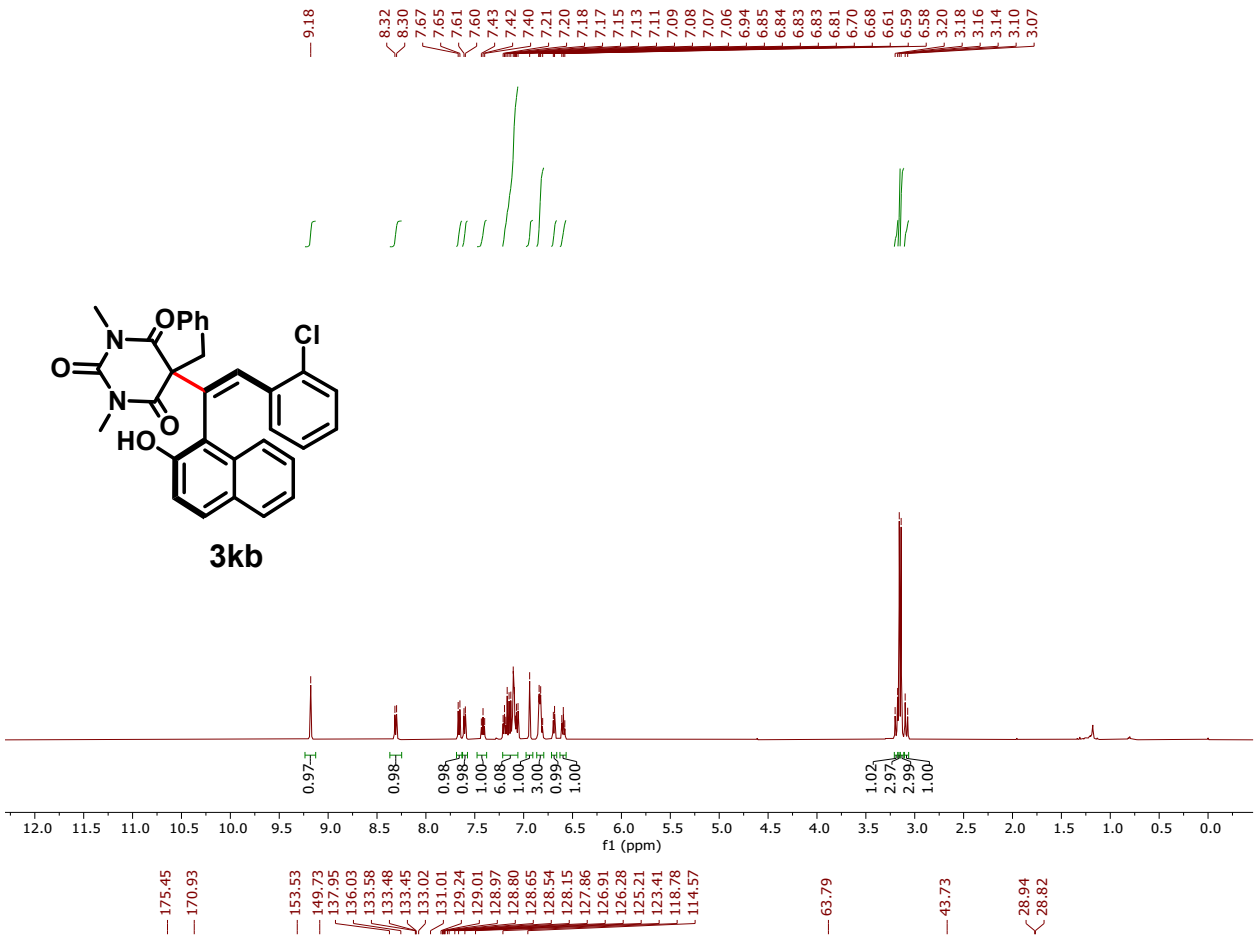
f1 (ppm)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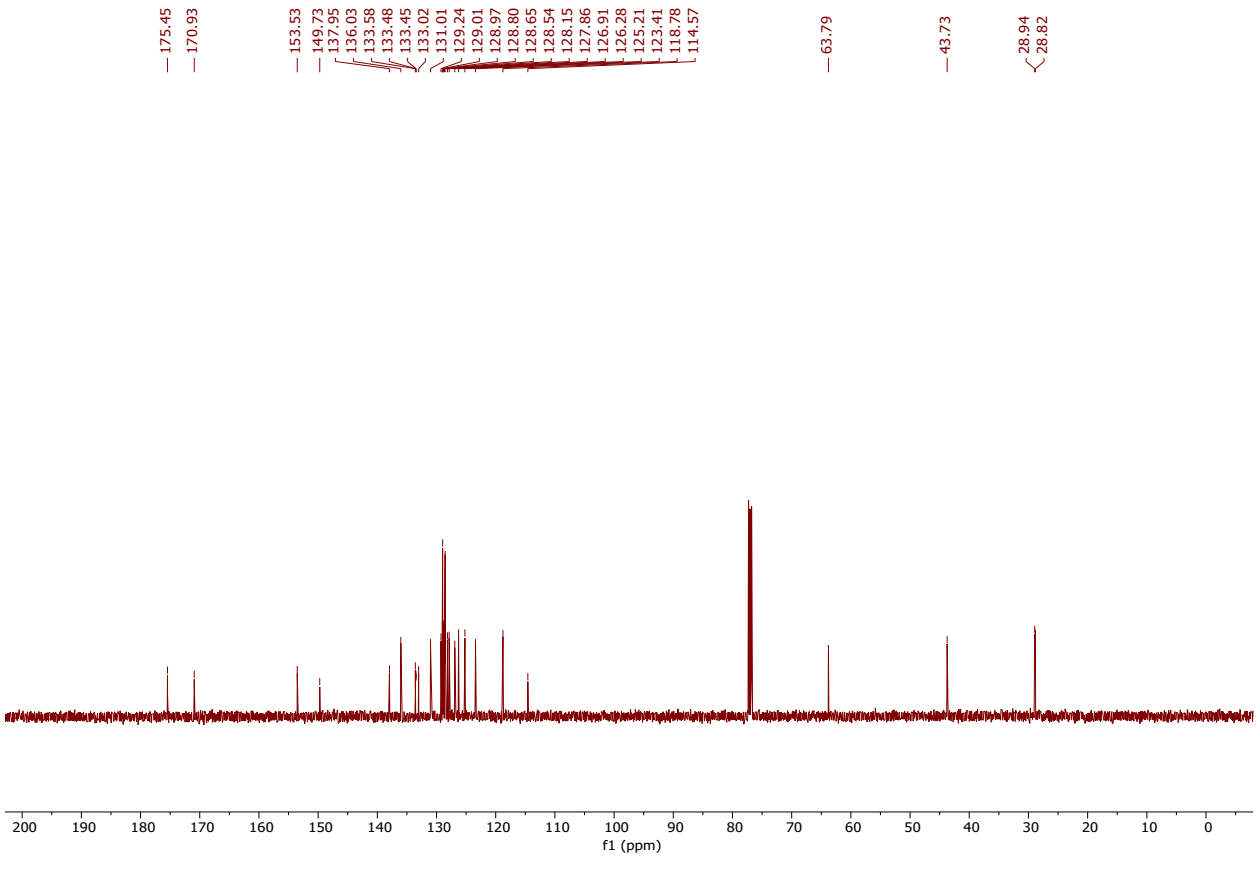
8.32
8.30
7.67
7.65
7.61
7.60
7.43
7.42
7.40
7.21
7.20
7.18
7.17
7.15
7.13
7.11
7.09
7.08
7.07
7.06
6.94
6.85
6.84
6.83
6.83
6.81
6.70
6.68
6.61
6.59
6.58
3.20
3.18
3.16
3.14
3.10
3.07
OPh
Cl
N
O
N
O
HO
3kb
0.97
0.98
0.98
0.98
1.00
6.08
1.00
3.00
0.99
1.00
1.02
2.97
2.99
1.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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170.93
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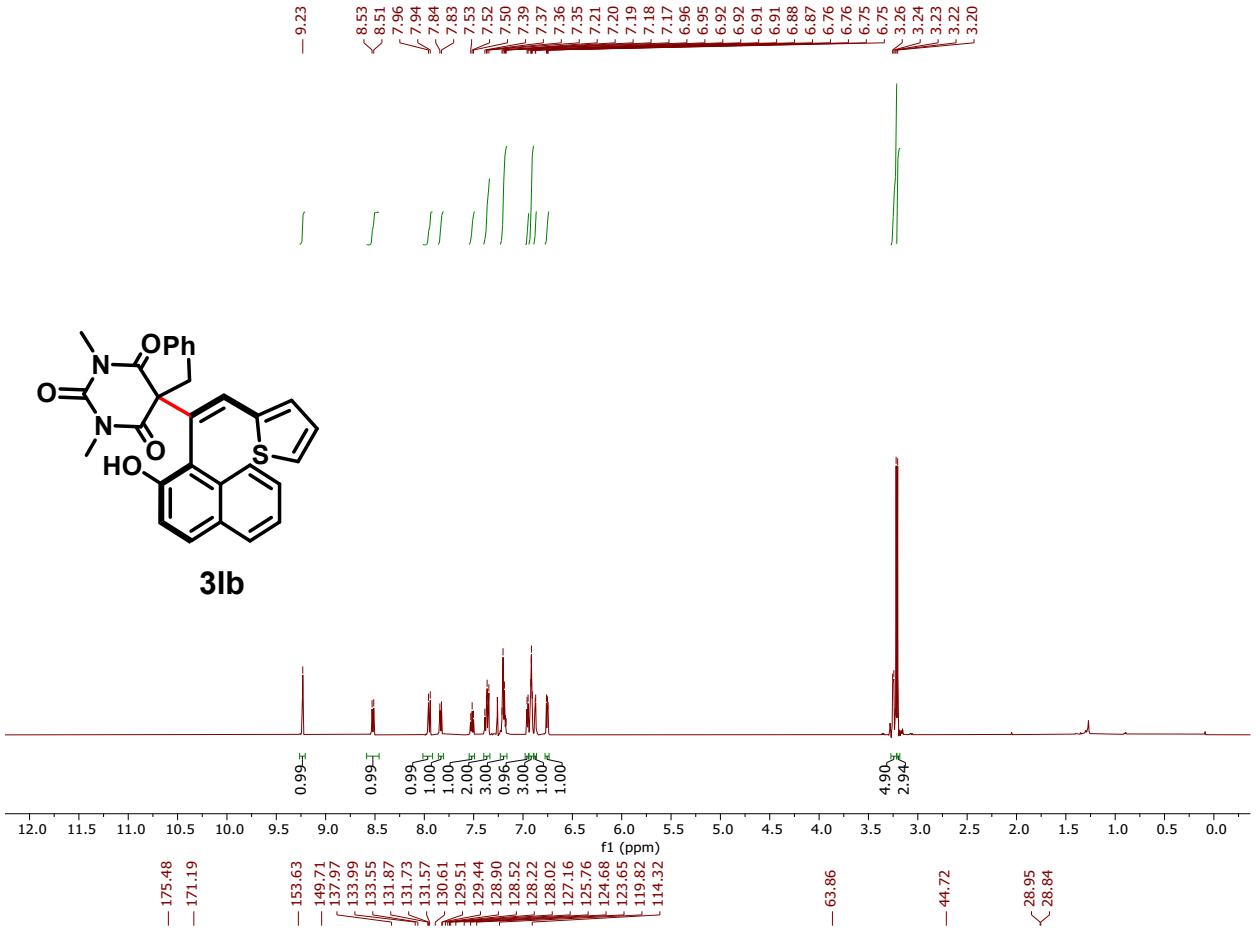
149.73
137.95
136.03
133.58
133.48
133.45
133.02
131.01
129.24
129.01
128.97
128.80
128.65
128.54
128.15
127.86
126.91
126.28
125.21
123.41
118.78
114.57
63.79
43.73
28.94
28.82
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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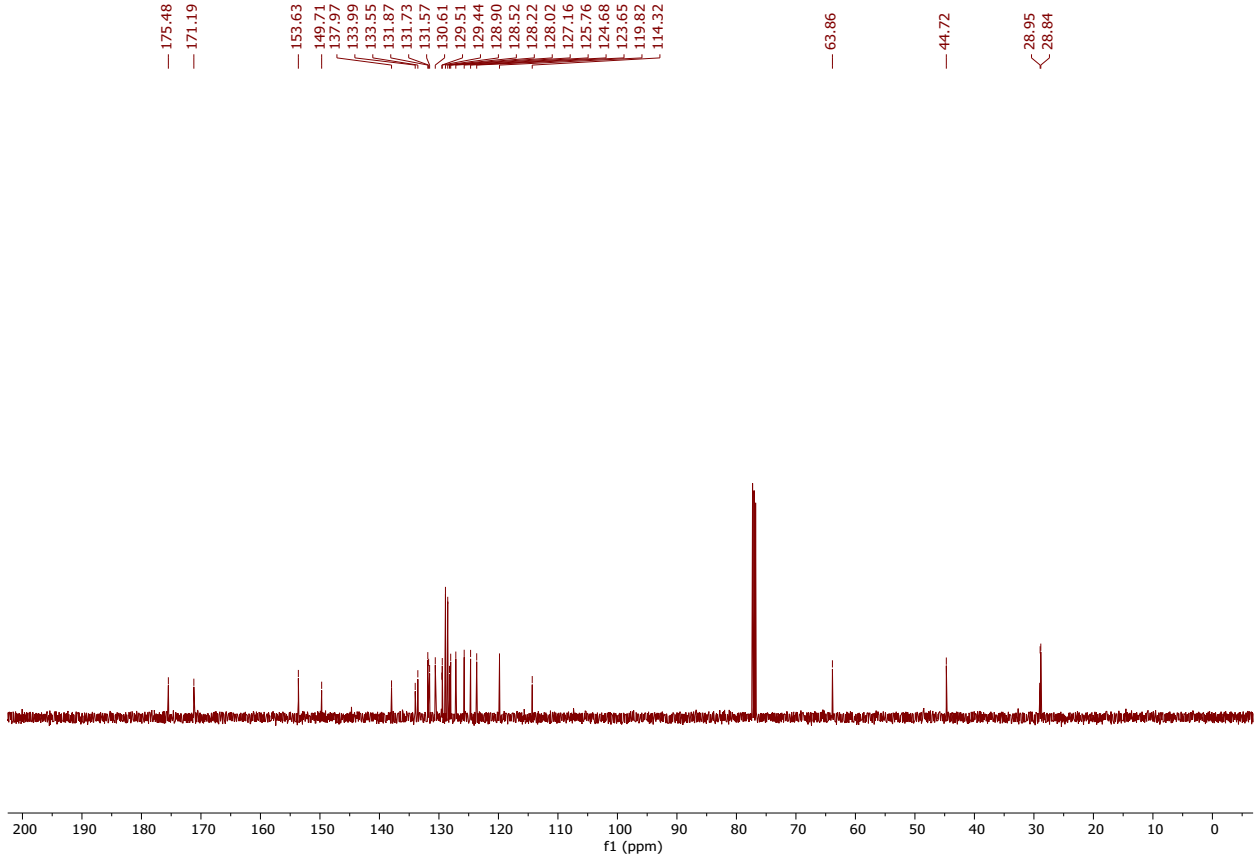
7.94
7.84
7.83
7.53
7.52
7.50
7.39
7.37
7.36
7.35
7.21
7.20
7.19
7.18
7.17
6.96
6.95
6.92
6.92
6.91
6.91
6.88
6.87
6.76
6.76
6.75
6.75
3.26
3.24
3.23
3.22
3.20
OPh
N
O
N
O
HO
S
0.99
0.99
0.99
1.00
1.00
2.00
3.00
0.96
3.00
1.00
1.00
4.90
2.94
12.0
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3lb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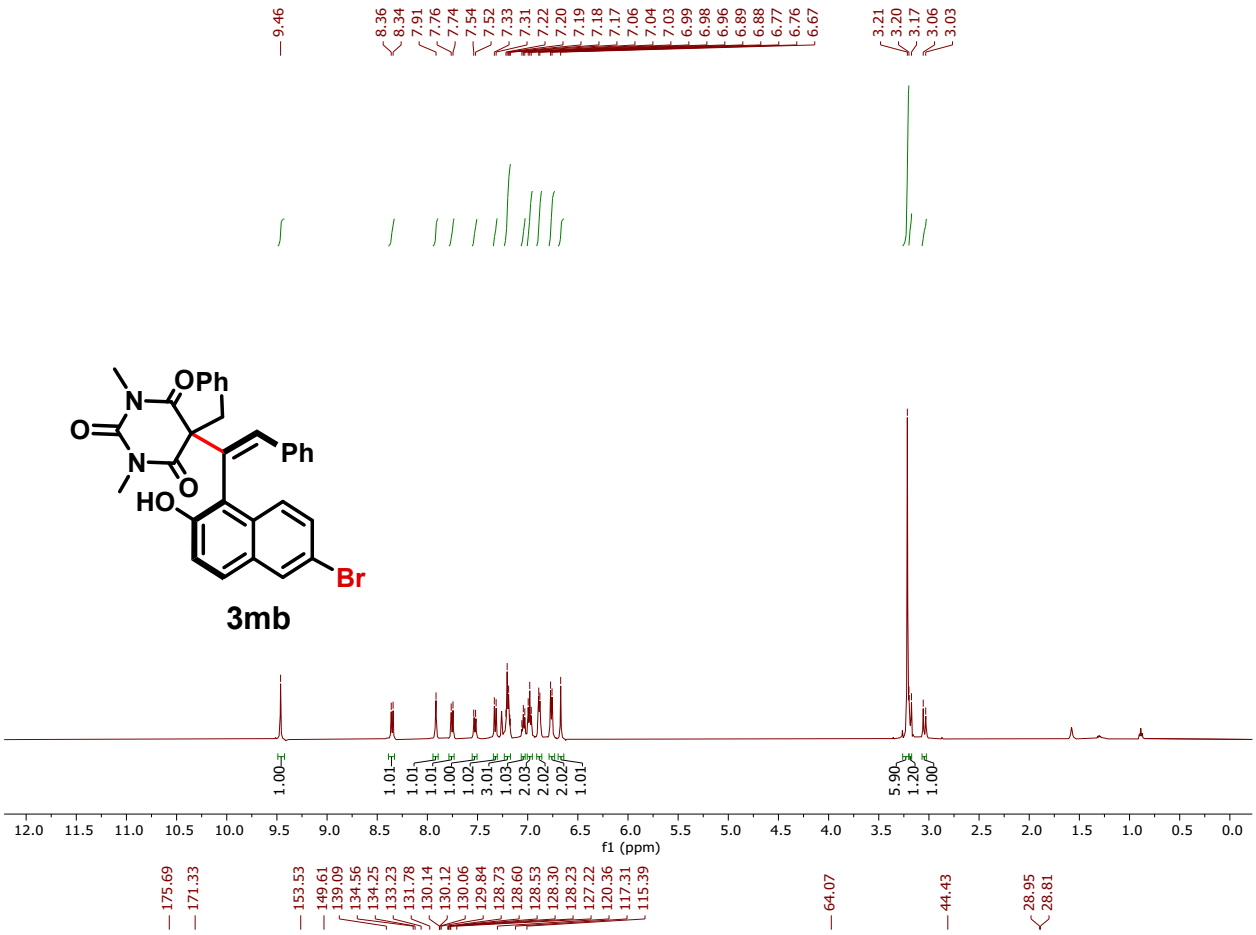
133.99
133.55
131.87
131.73
131.57
130.61
129.51
129.44
128.90
128.52
128.22
128.02
127.16
125.76
124.68
123.65
119.82
114.32
63.86
44.72
28.95
28.84
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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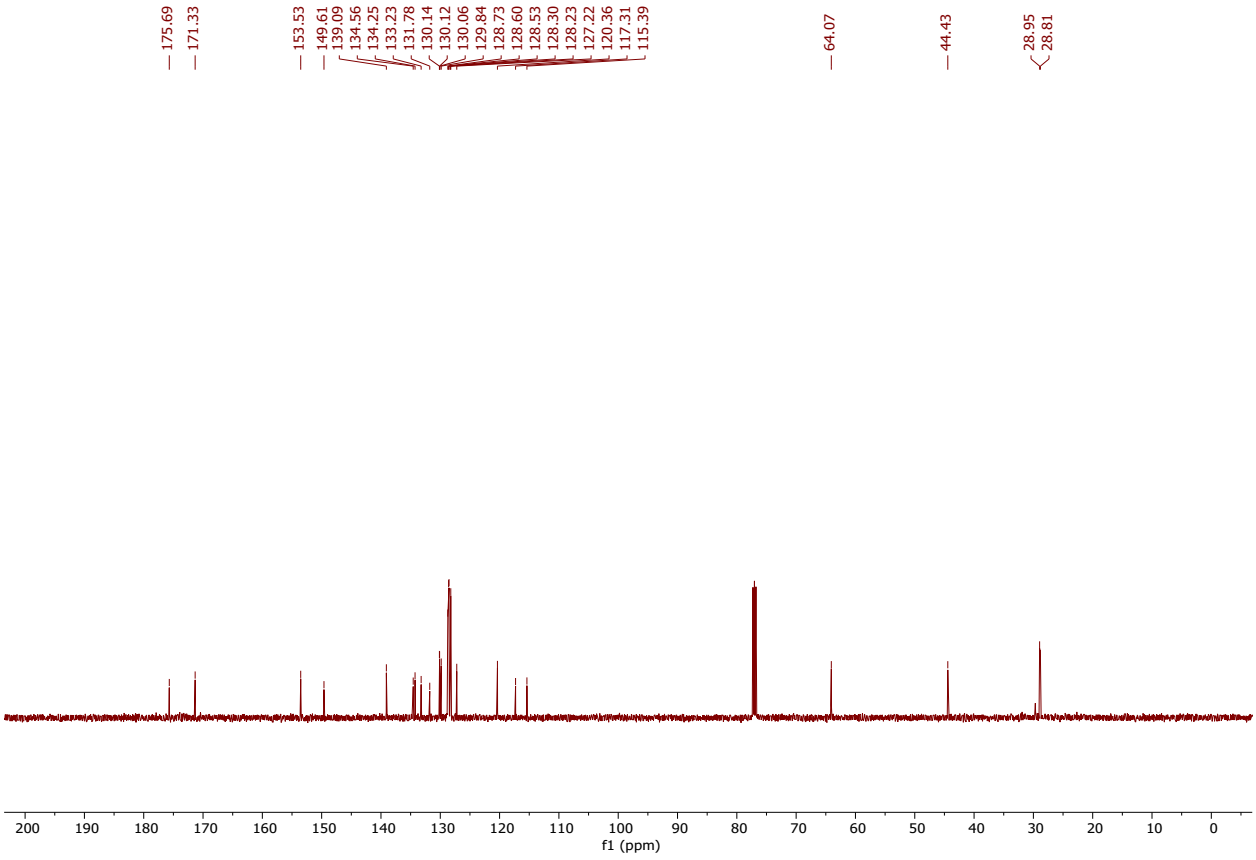
8.36
8.34
7.91
7.76
7.74
7.54
7.52
7.33
7.31
7.22
7.20
7.19
7.18
7.17
7.06
7.04
7.03
6.99
6.98
6.96
6.89
6.88
6.77
6.76
6.67
3.21
3.20
3.17
3.06
3.03
OPh
N
O
N
O
HO
Ph
Br
3mb
1.00
1.01
1.01
1.01
1.00
1.02
3.01
1.03
2.03
2.02
2.02
1.01
5.90
1.20
1.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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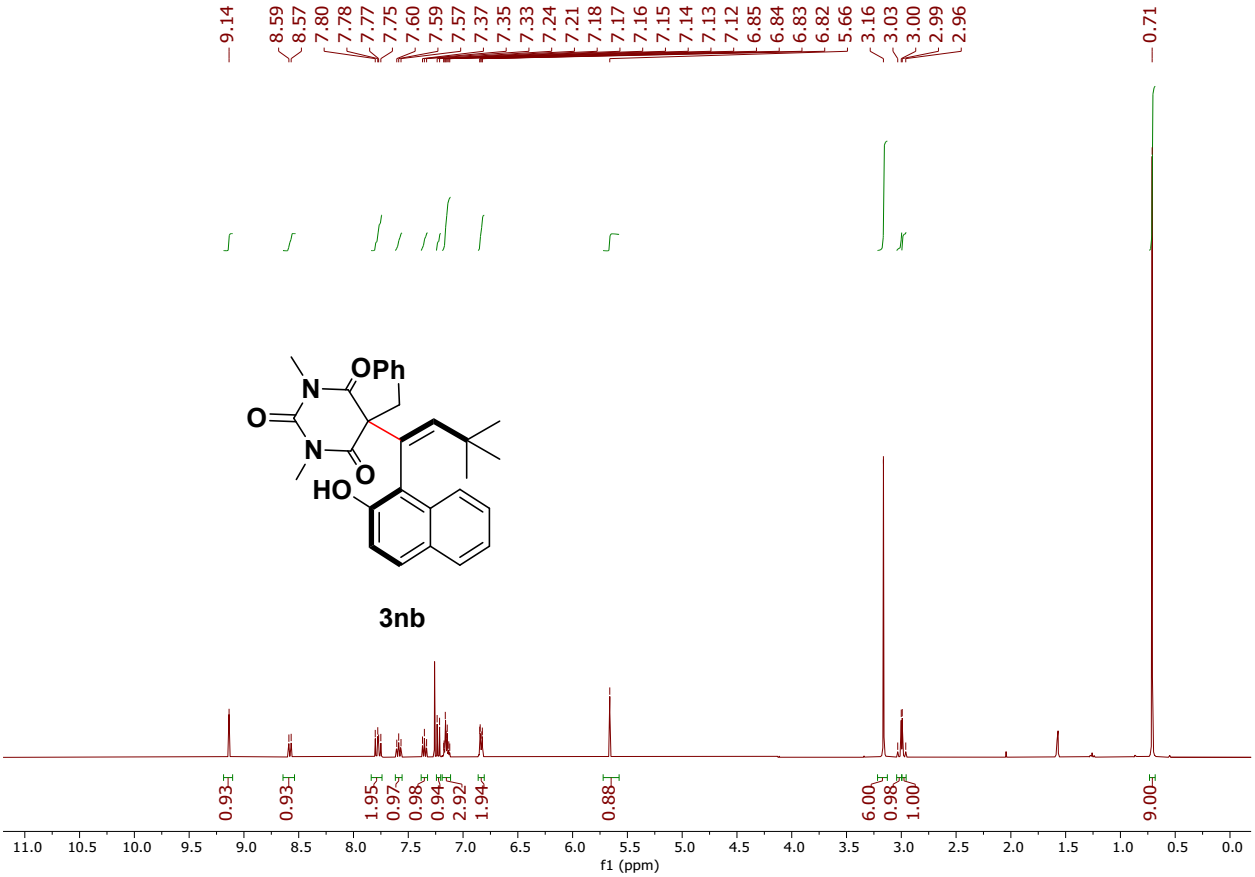
149.61
139.09
134.56
134.25
133.23
131.78
130.14
130.12
130.06
129.84
128.73
128.60
128.53
128.30
128.23
127.22
120.36
117.31
115.39
64.07
44.43
28.95
28.81
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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8.59
8.57
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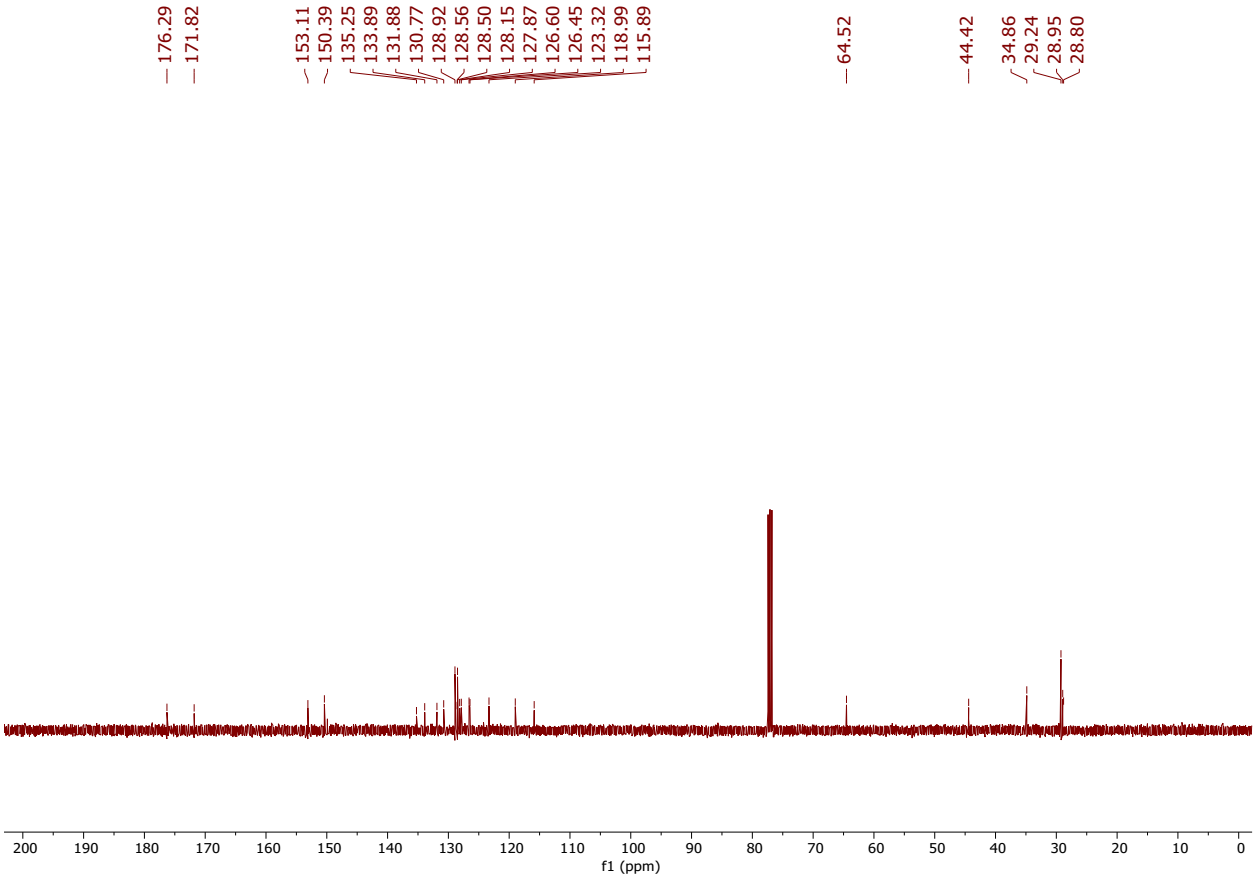
7.78
7.77
7.75
7.60
7.59
7.57
7.37
7.35
7.33
7.24
7.21
7.18
7.17
7.16
7.15
7.14
7.13
7.12
6.85
6.84
6.83
6.82
5.66
3.16
3.03
3.00
2.99
2.96
0.71
OPh
N
O
N
O
HO
0.93
0.93
1.95
0.97
0.98
0.94
2.92
1.94
0.88
6.00
0.98
1.00
9.00
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3nb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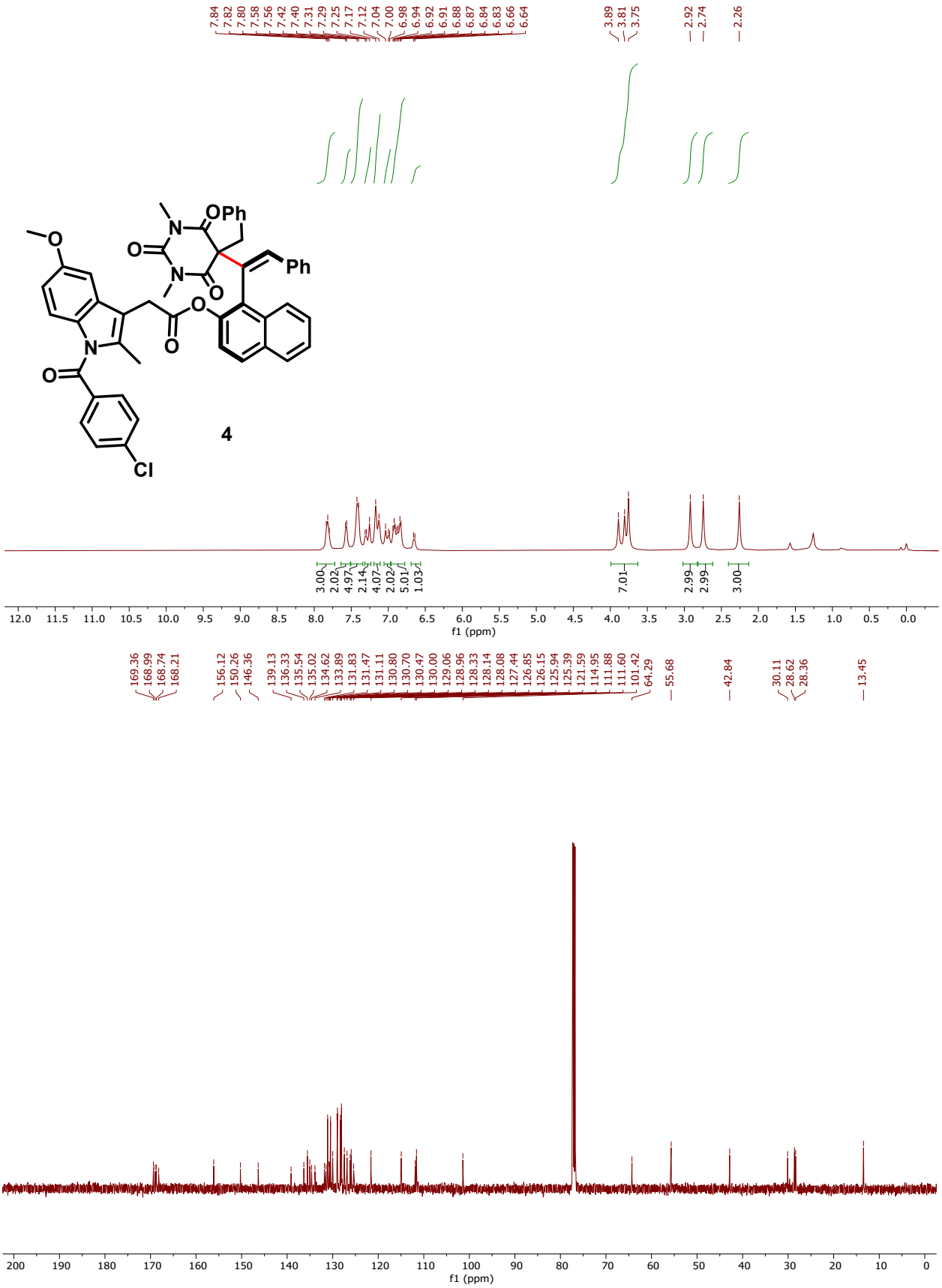
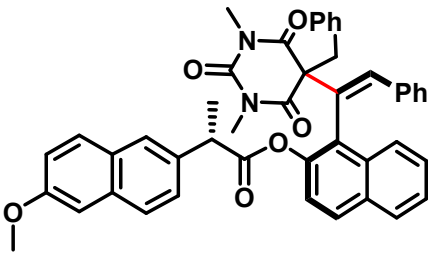
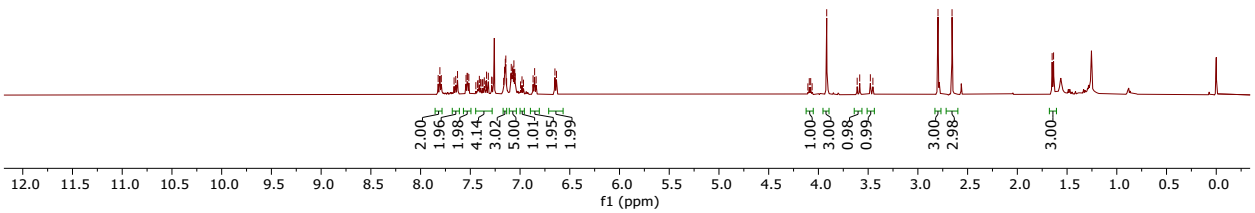
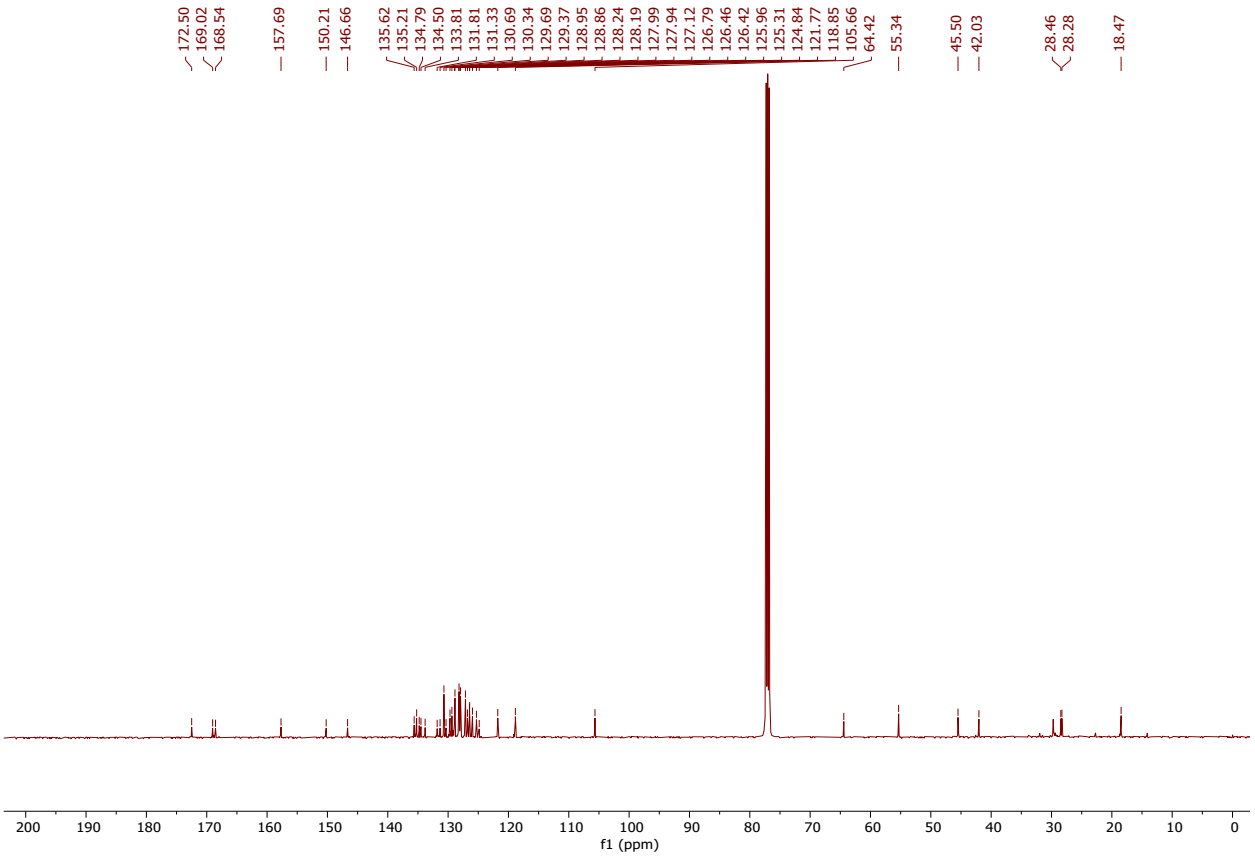
135.25
133.89
131.88
130.77
128.92
128.56
128.50
128.15
127.87
126.60
126.45
123.32
118.99
115.89
64.52
44.42
34.86
29.24
28.95
28.80
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

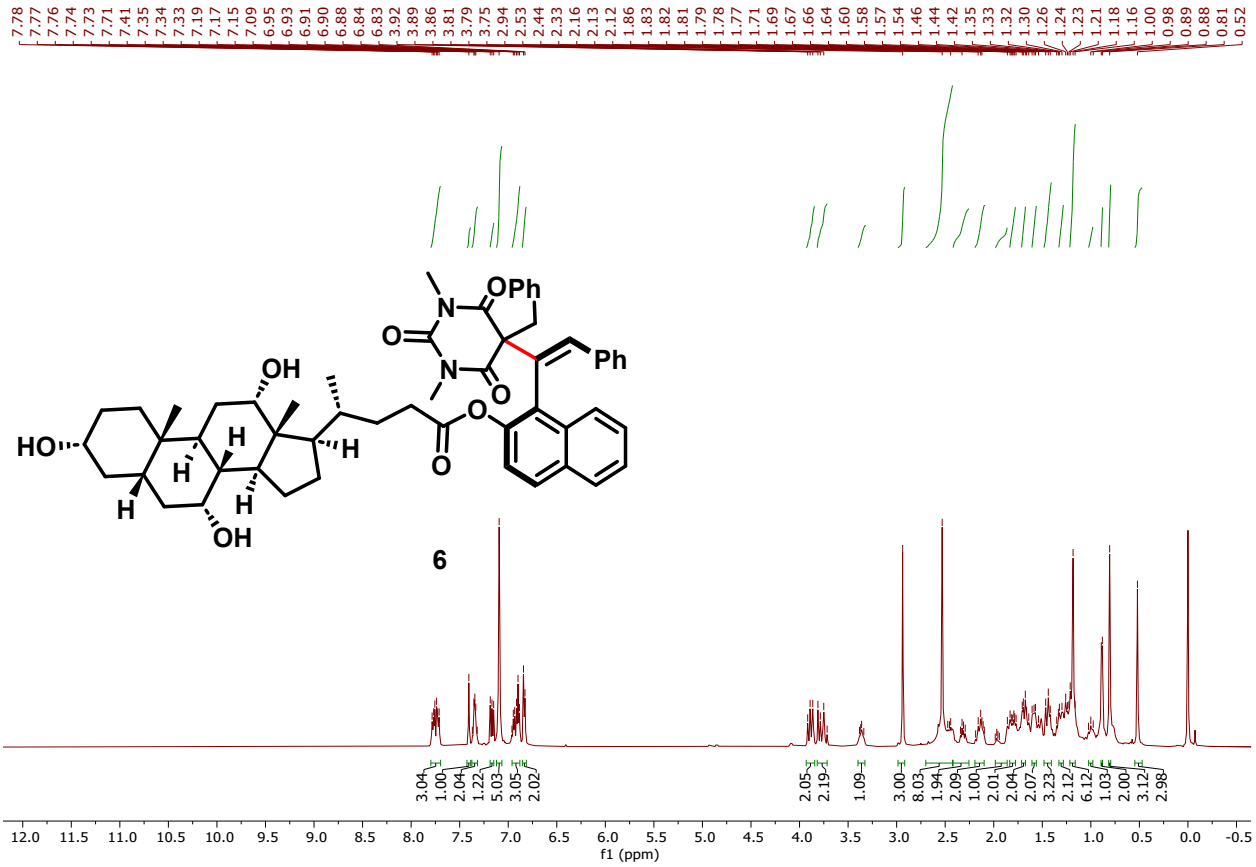

7.84
7.82
7.80
7.58
7.56
7.42
7.40
7.31
7.29
7.25
7.17
7.12
7.04
7.00
6.98
6.94
6.92
6.91
6.88
6.87
6.84
6.83
6.66
6.64
3.89
3.81
3.75
2.92
2.74
2.26
OPh
Ph
O
N
O
N
O
O
O
N
O
Cl
4
3.00
2.02
4.97
2.14
4.07
2.02
5.01
1.03
7.01
2.99
2.99
3.00
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
169.36
168.99
168.74
168.21
156.12
150.26
146.36
139.13
136.33
135.54
135.02
134.62
133.89
131.83
131.47
131.11
130.80
130.70
130.47
130.00
129.06
128.96
128.33
128.14
128.08
127.44
126.85
126.15
125.94
125.39
121.59
114.95
111.88
111.60
101.42
64.29
55.68
42.84
30.11
28.62
28.36
13.45
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

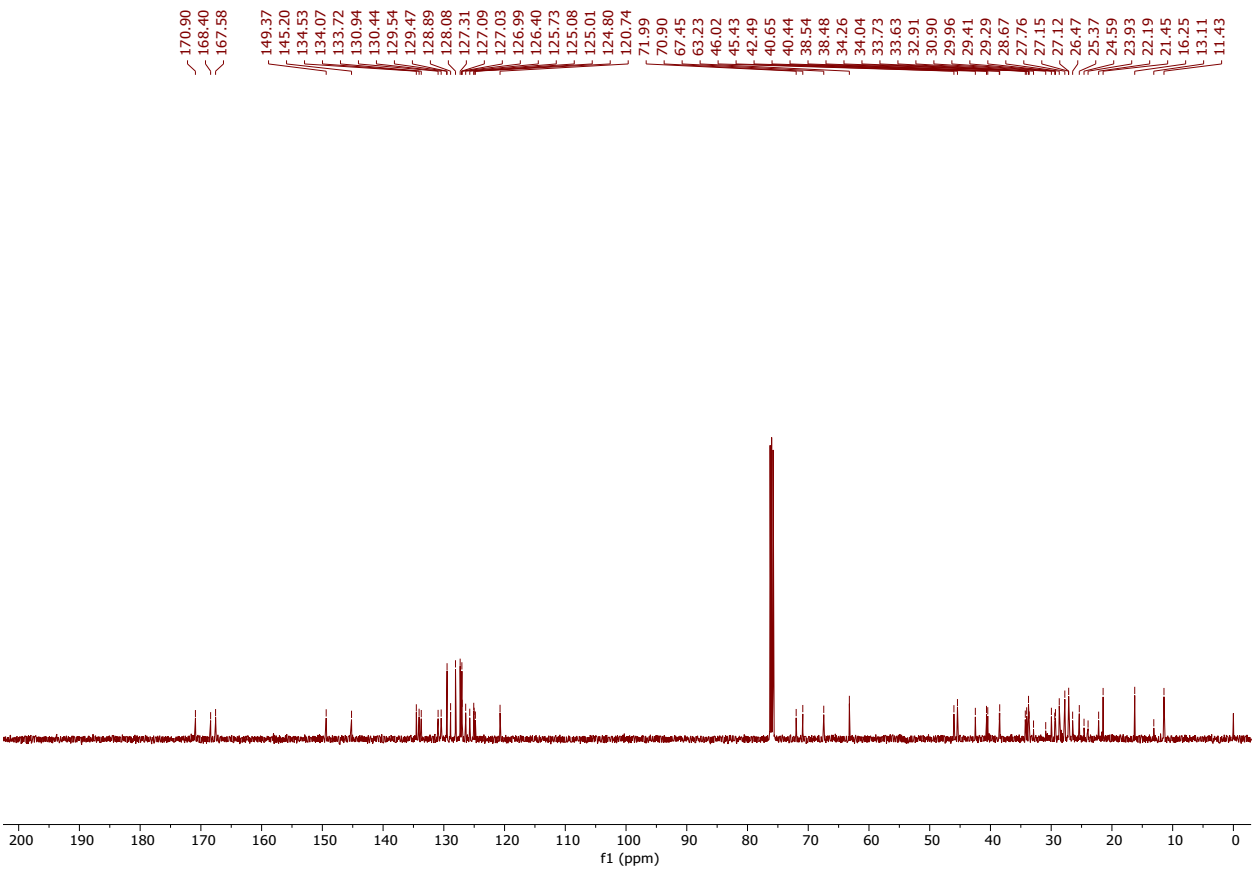


5






7.78 7.77 7.76 7.74 7.73 7.71 7.41 7.35 7.34 7.33 7.19 7.17 7.15 7.09 6.95 6.93 6.91 6.90 6.88 6.84 6.83 3.92 3.89 3.86 3.81 3.79 3.75 2.94 2.53 2.44 2.33 2.16 2.13 2.12 1.86 1.83 1.82 1.81 1.79 1.78 1.77 1.71 1.69 1.67 1.66 1.64 1.60 1.58 1.57 1.54 1.46 1.44 1.42 1.35 1.33 1.32 1.30 1.26 1.24 1.23 1.21 1.18 1.16 1.00 0.98 0.89 0.88 0.81 0.52
OPh
N
O
N
O
Ph
O
O
OH
HO
H
H
H
H
OH
6
3.04 1.00 2.04 1.22 5.03 3.05 2.02
2.05 2.19 1.09 3.00 8.03 1.94 2.09 1.00 2.01 2.04 2.07 3.23 2.12 6.12 1.03 2.00 3.12 2.98
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


170.90 168.40 167.58 149.37 145.20 134.53 134.07 133.72 130.94 130.44 129.54 129.47 128.89 128.08 127.31 127.09 127.03 126.99 126.40 125.73 125.08 125.01 124.80 120.74 71.99 70.90 67.45 63.23 46.02 45.43 42.49 40.65 40.44 38.54 38.48 34.26 34.04 33.73 33.63 32.91 30.90 29.96 29.41 29.29 28.67 27.76 27.15 27.12 26.47 25.37 24.59 23.93 22.19 21.45 16.25 13.11 11.43
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


9.74
9.72
7.83
7.81
7.79
7.53
7.51
7.36
7.34
7.30
7.29
7.28
7.27
7.26
7.25
7.24
7.23
7.21
7.16
7.15
7.14
7.03
6.98
6.97
6.96
6.94
6.93
6.92
6.91
6.90
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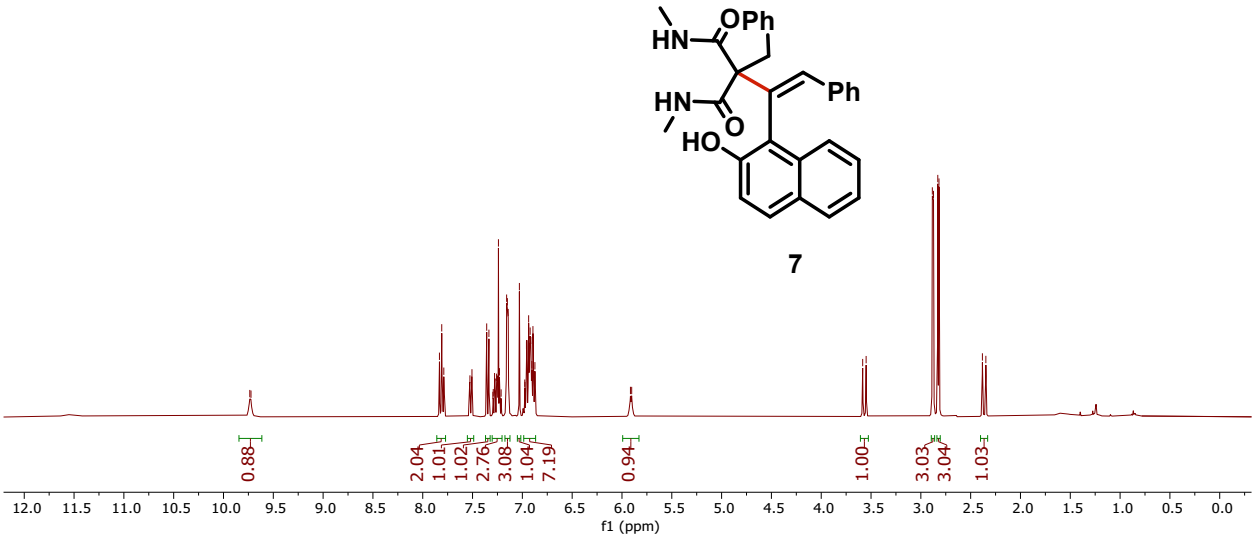
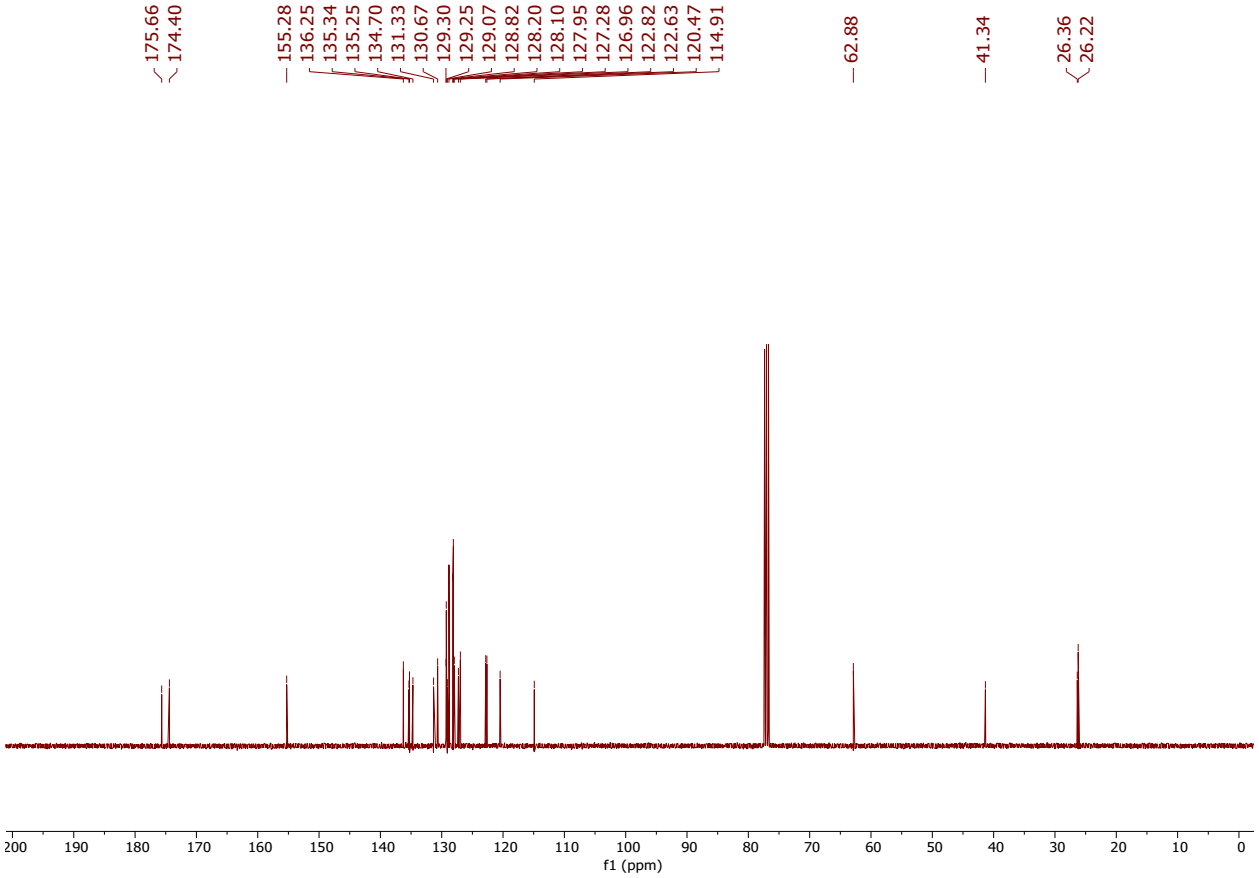
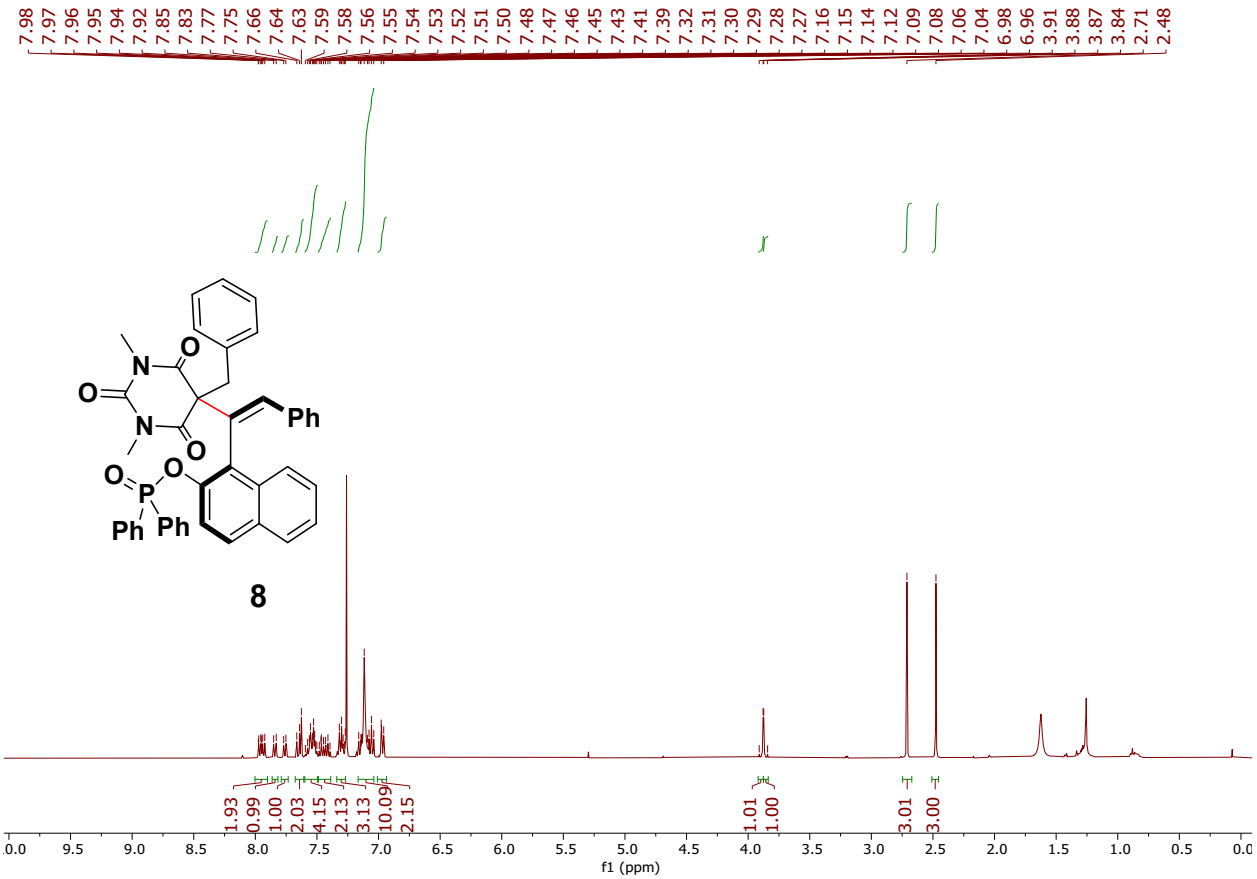
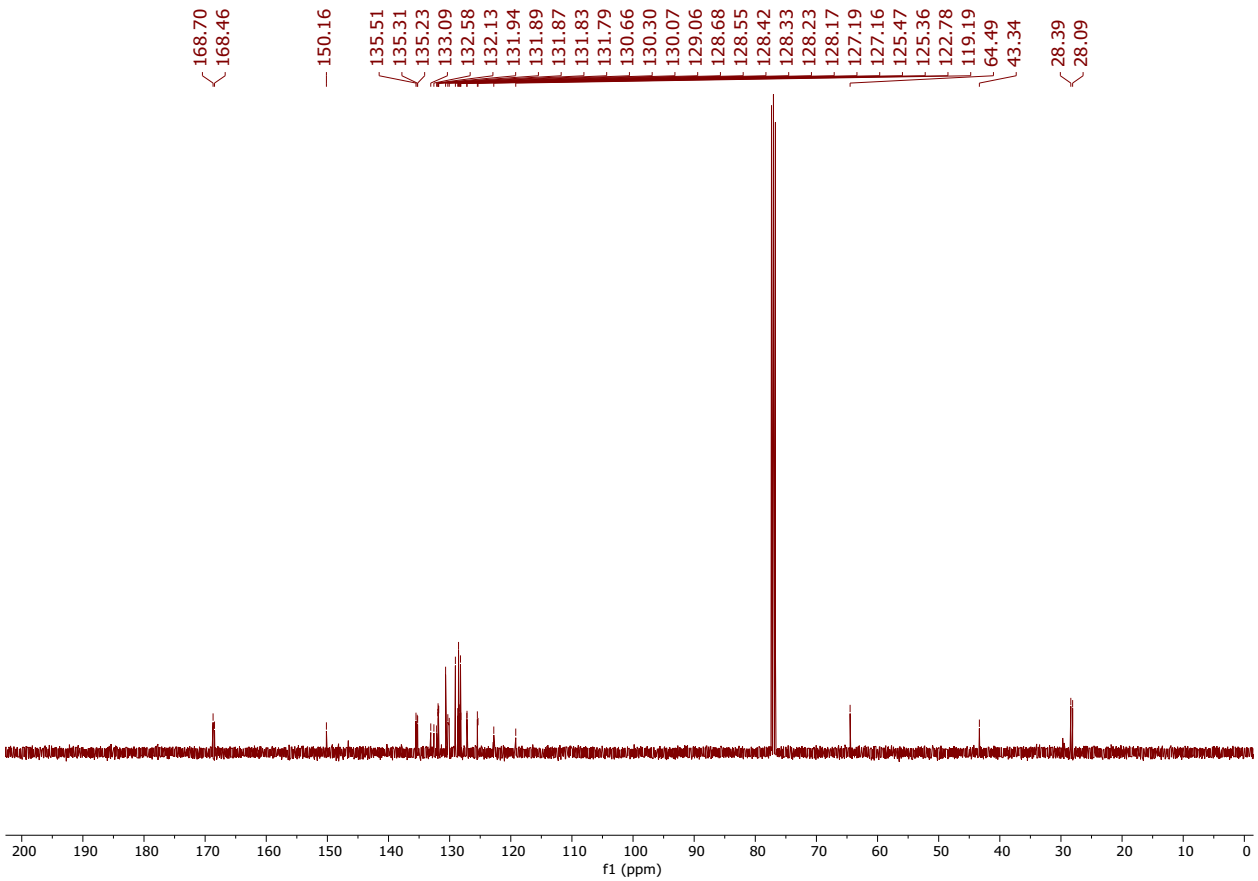
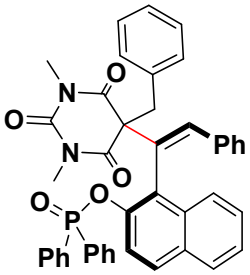
2.88
2.87
2.83
2.82
2.38
2.35


HN
OPh
HN
O
HO
Ph
7
0.88
2.04
1.01
1.02
2.76
3.08
1.04
7.19
0.94
1.00
3.03
3.04
1.03
12.0
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


175.66
174.40
155.28
136.25
135.34
135.25
134.70
131.33
130.67
129.30
129.25
129.07
128.82
128.20
128.10
127.95
127.28
126.96
122.82
122.63
120.47
114.91
62.88
41.34
26.36
26.22
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

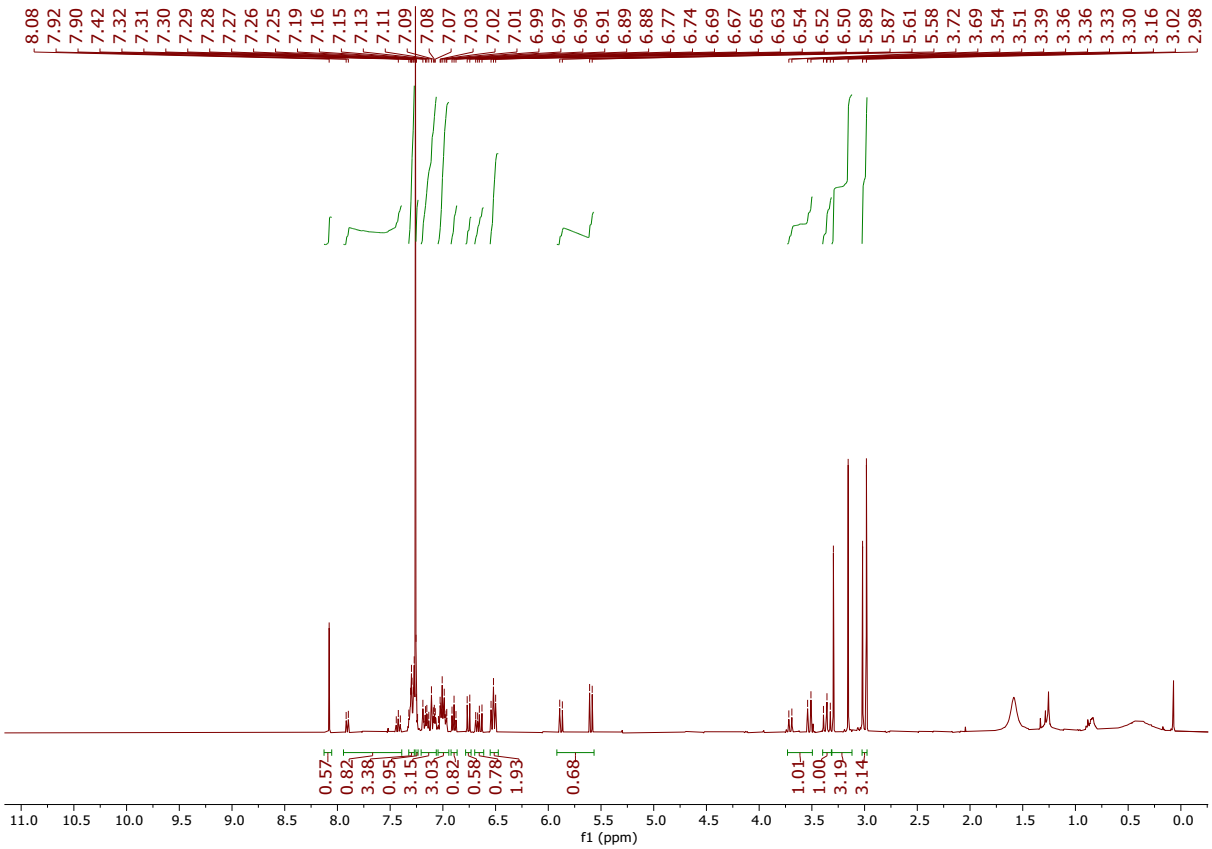

7.98 7.97 7.96 7.95 7.94 7.92 7.85 7.83 7.77 7.75 7.66 7.64 7.63 7.59 7.58 7.56 7.55 7.54 7.53 7.52 7.51 7.50 7.48 7.47 7.46 7.45 7.43 7.41 7.39 7.32 7.31 7.30 7.29 7.28 7.27 7.16 7.15 7.14 7.12 7.09 7.08 7.06 7.04 6.98 6.96 3.91 3.88 3.87 3.84 2.71 2.48
O
N
O
N
O
Ph
O
O
P
Ph
Ph
8
1.93 0.99 1.00 2.03 4.15 2.13 3.13 10.09 2.15 1.01 1.00 3.01 3.00
f1 (ppm)

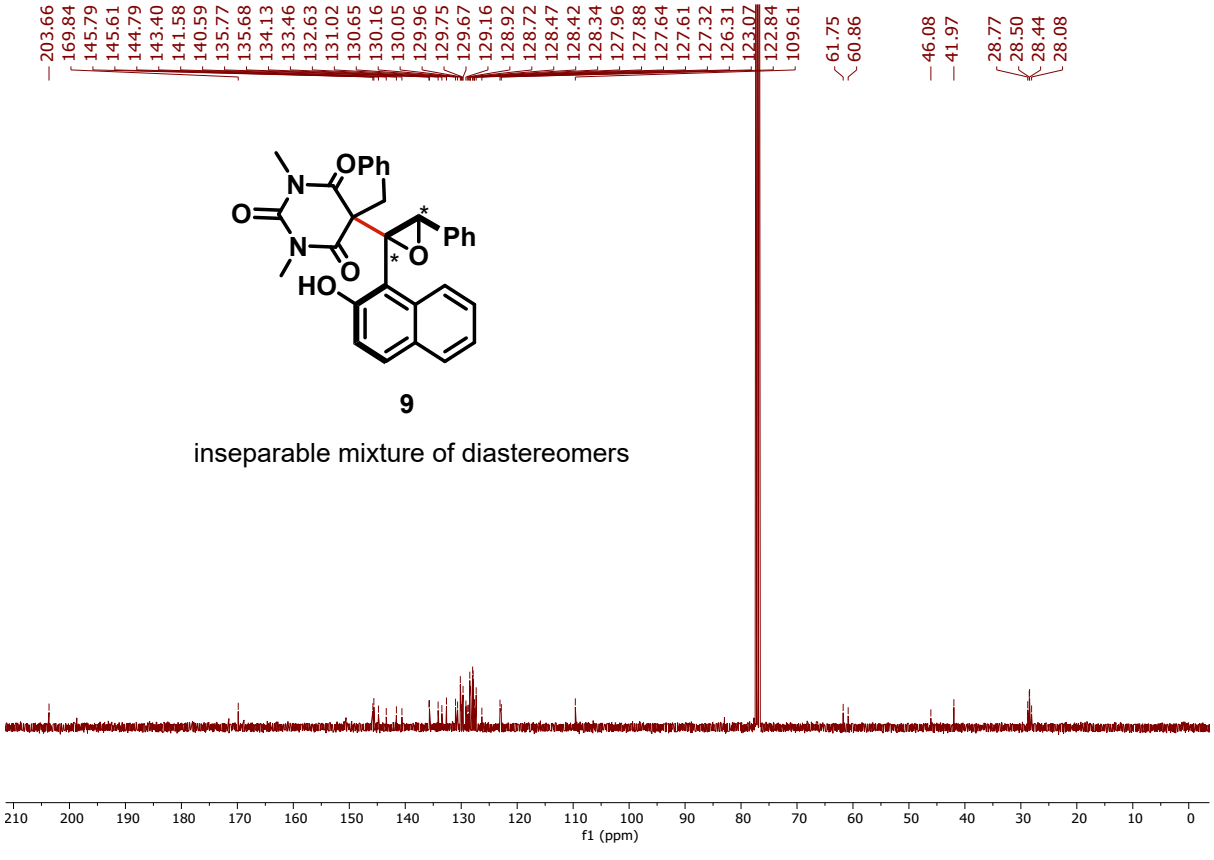

168.70 168.46 150.16 135.51 135.31 135.23 133.09 132.58 132.13 131.94 131.89 131.87 131.83 131.79 130.66 130.30 130.07 129.06 128.68 128.55 128.42 128.33 128.23 128.17 127.19 127.16 125.47 125.36 122.78 119.19 64.49 43.34 28.39 28.09
f1 (ppm)


N
O
O
N
O
Ph
O
O
P
Ph
Ph

8

30.46
200
180
160
140
120
100
80
60
40
20
0
-20
-40
-60
-80
-100
-120
-140
-160
-180
-20
f1 (ppm)



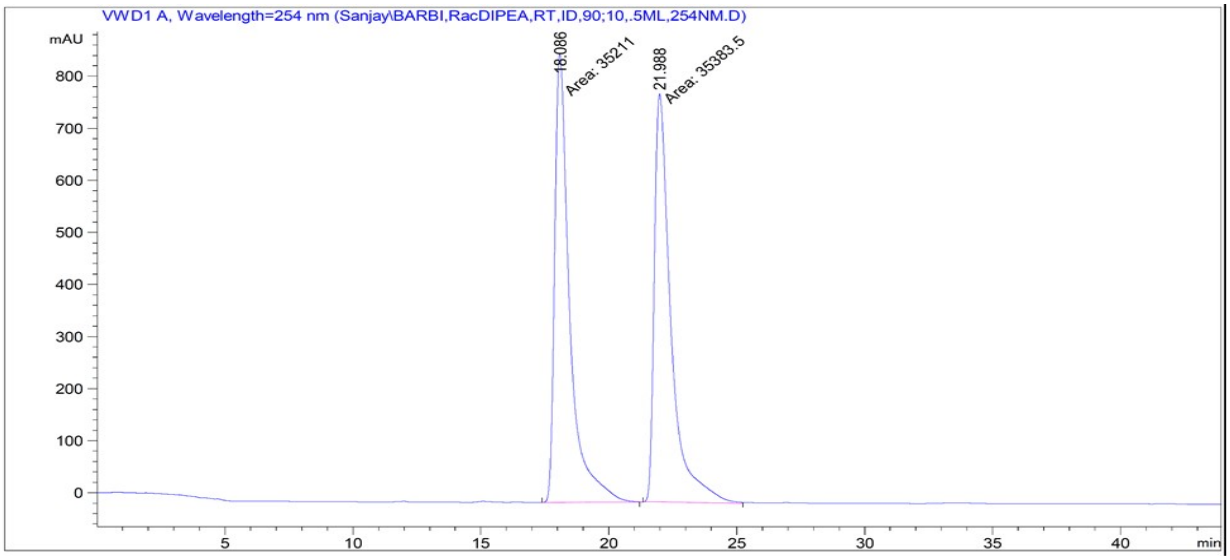


9

inseparable mixture of diastereomers

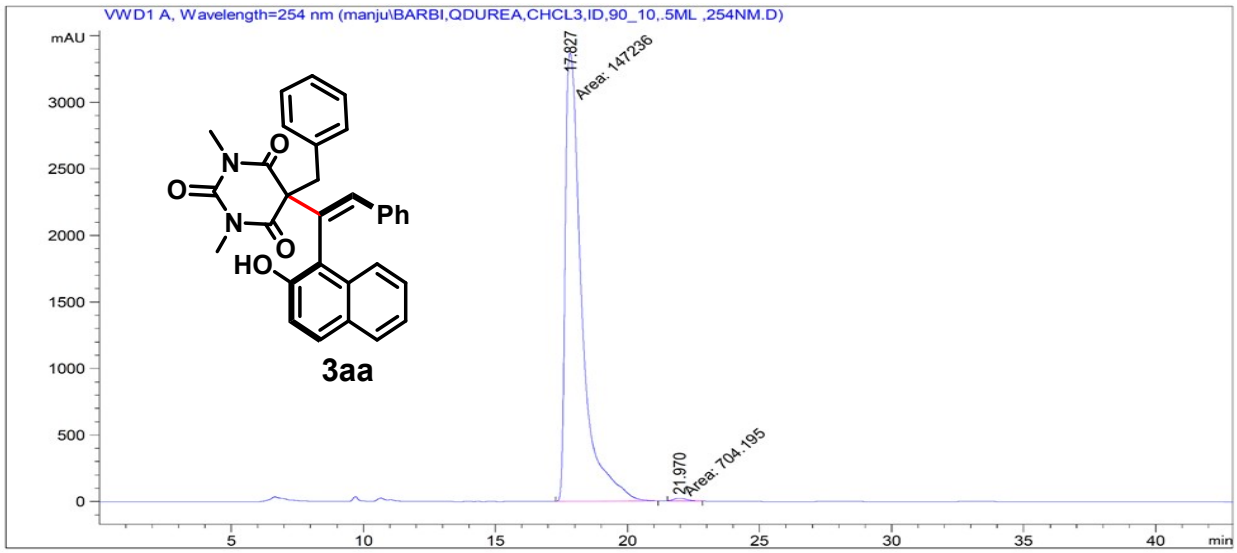
8. Copies of HPLC Chromatograms

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

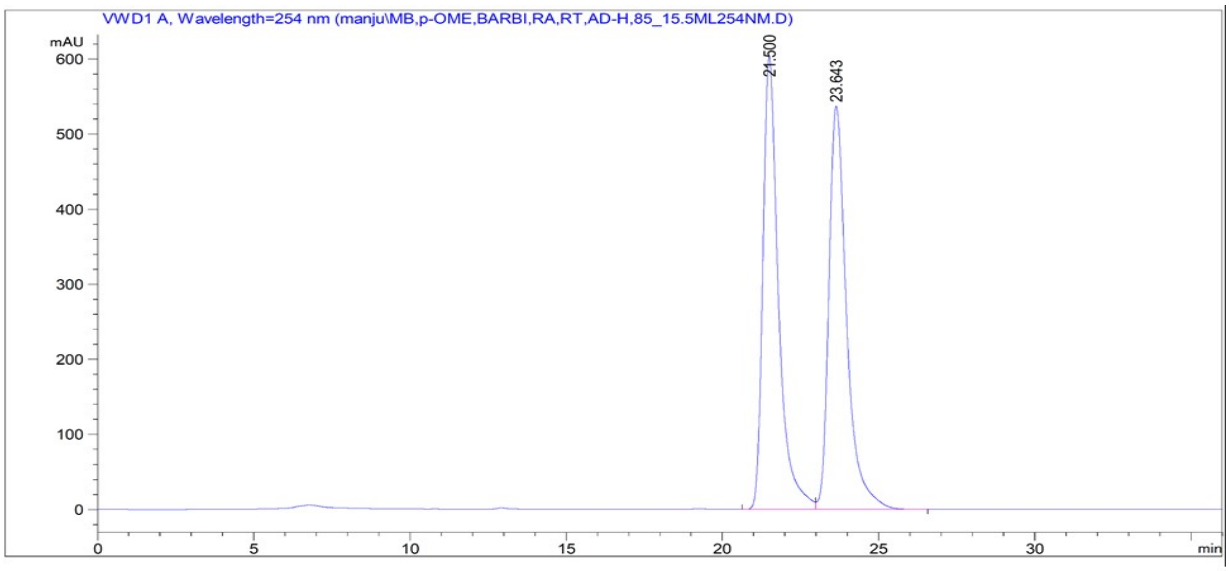
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.086	MM	0.6812	3.52110e4	861.51917	49.8778
2	21.988	MM	0.7530	3.53835e4	783.18567	50.1222



Signal 1: VWD1 A, Wavelength=254 nm

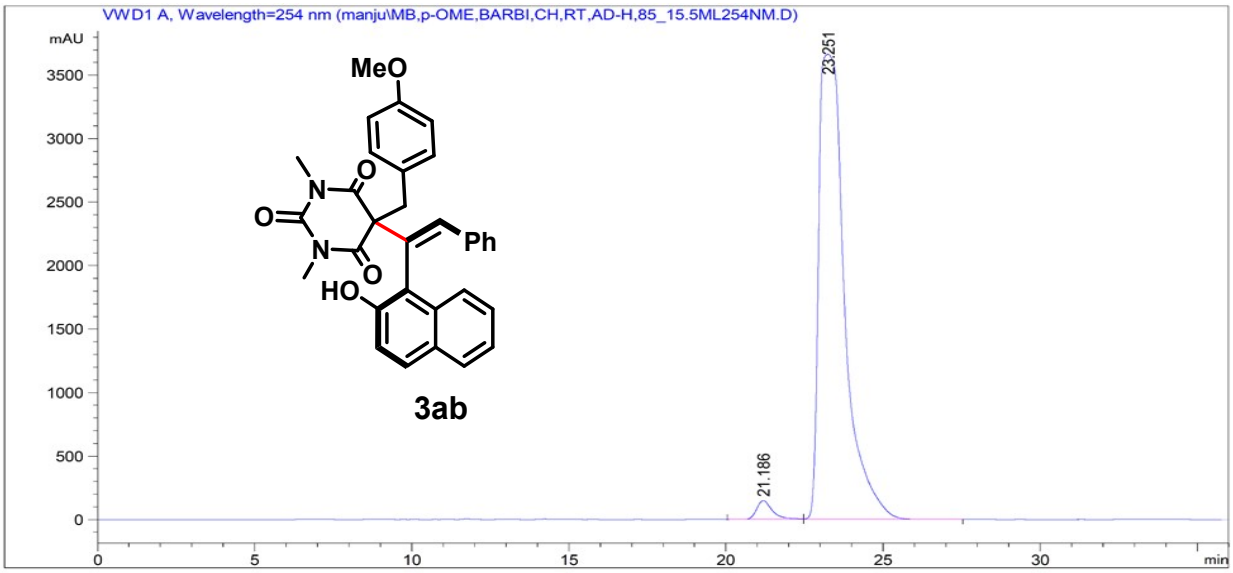
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.827	MM	0.7280	1.47236e5	3370.82227	99.5240
2	21.970	MM	0.5723	704.19489	20.50707	0.4760

HPLC, Chiralpak AD-H, hexane:isopropanol = 85:15, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

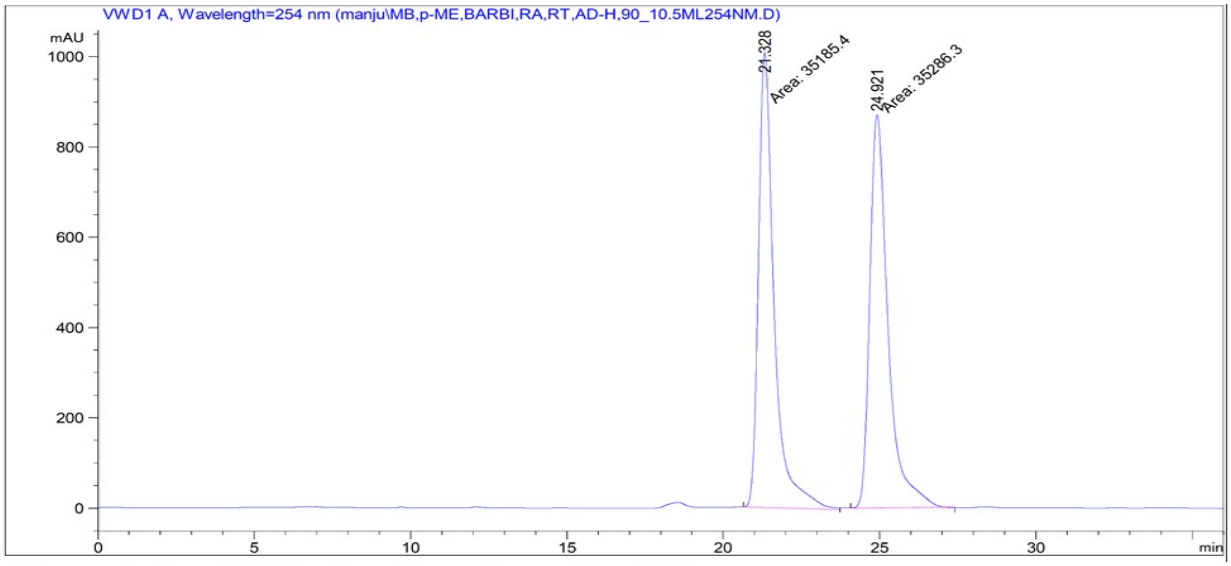
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.086	MM	0.6812	3.52110e4	861.51917	49.8778
2	21.988	MM	0.7530	3.53835e4	783.18567	50.1222



Signal 1: VWD1 A, Wavelength=254 nm

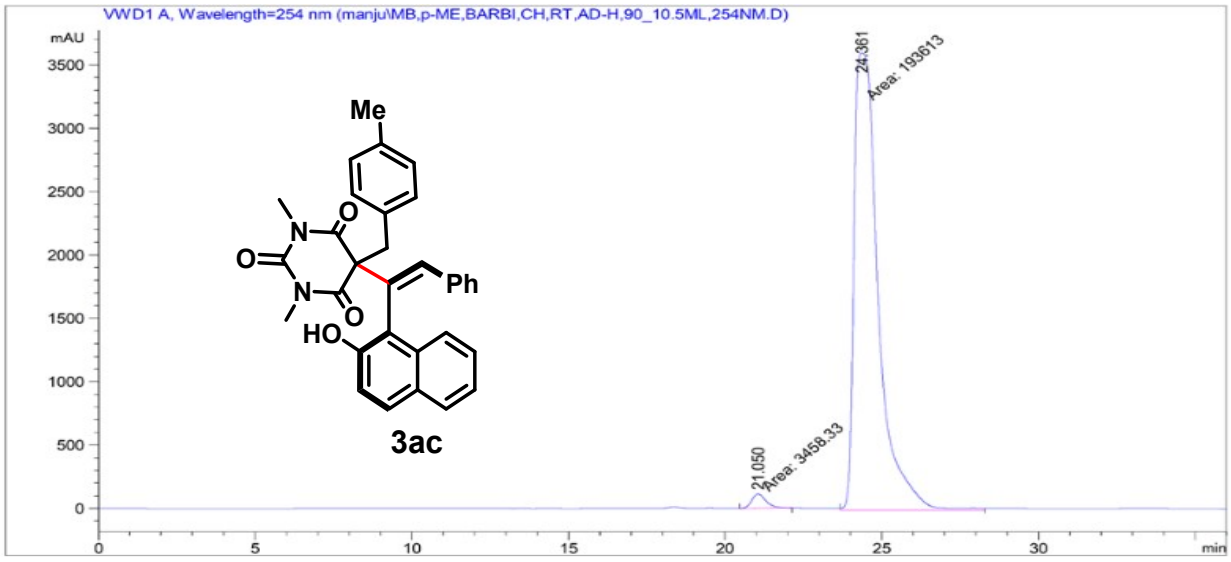
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.186	BV	0.5315	5294.73242	149.01254	2.4584
2	23.251	VB	0.7017	2.10079e5	3665.12305	97.5416

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

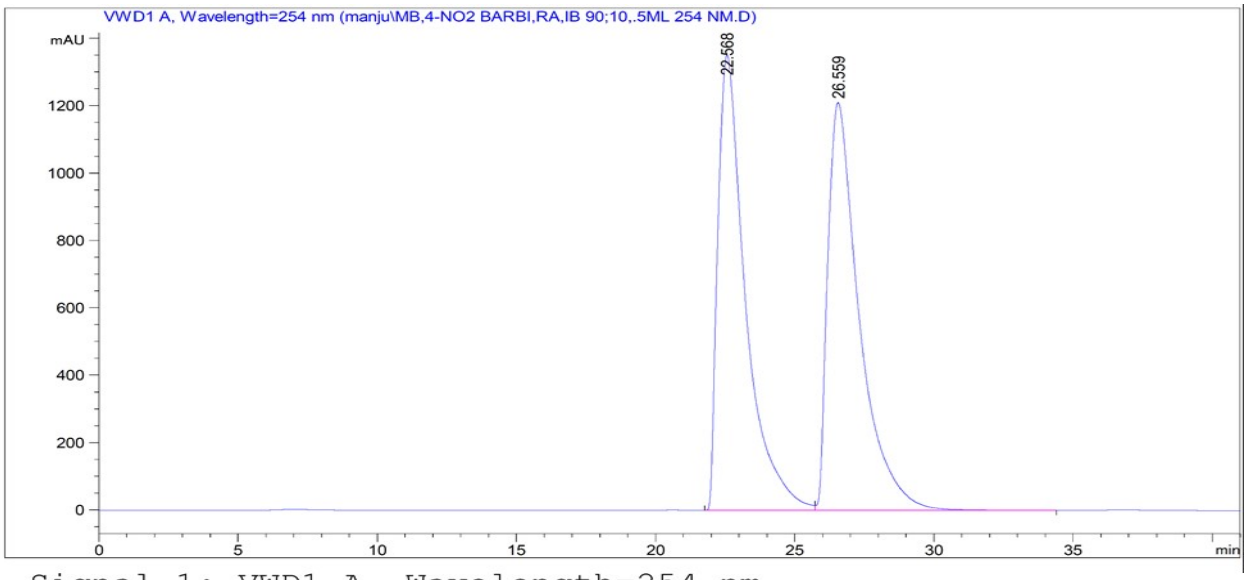
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.328	MM	0.5820	3.51854e4	1007.51569	49.9284
2	24.921	MM	0.6760	3.52863e4	869.96881	50.0716



Signal 1: VWD1 A, Wavelength=254 nm

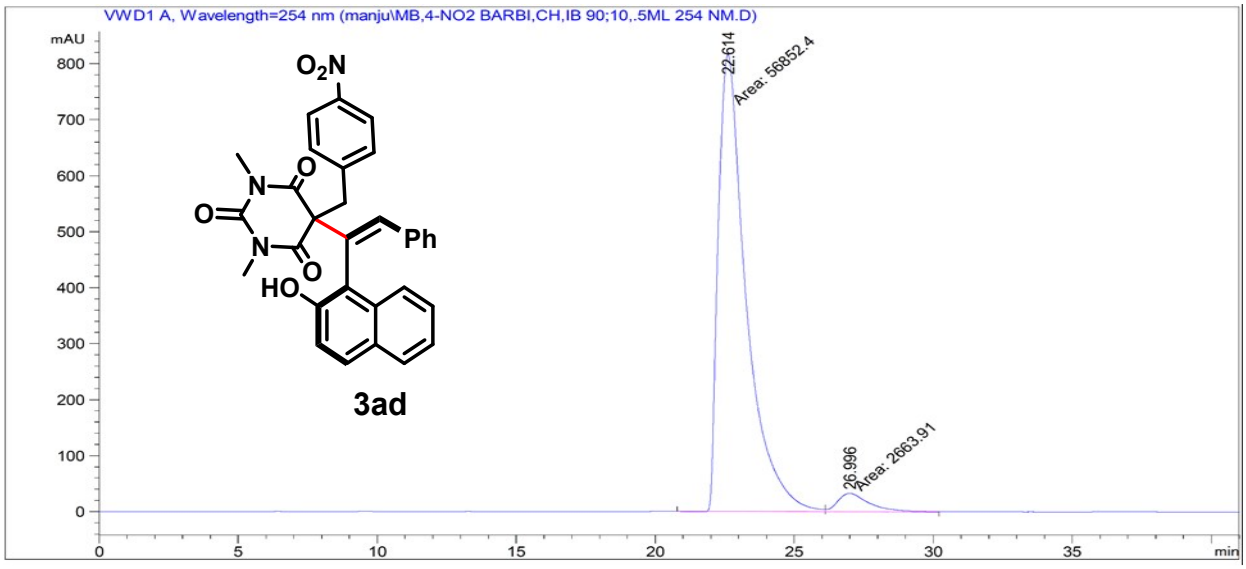
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.050	MM	0.5130	3458.33447	112.35178	1.7549
2	24.361	MM	0.8950	1.93613e5	3605.62744	98.2451

HPLC, Chiralpak IB, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



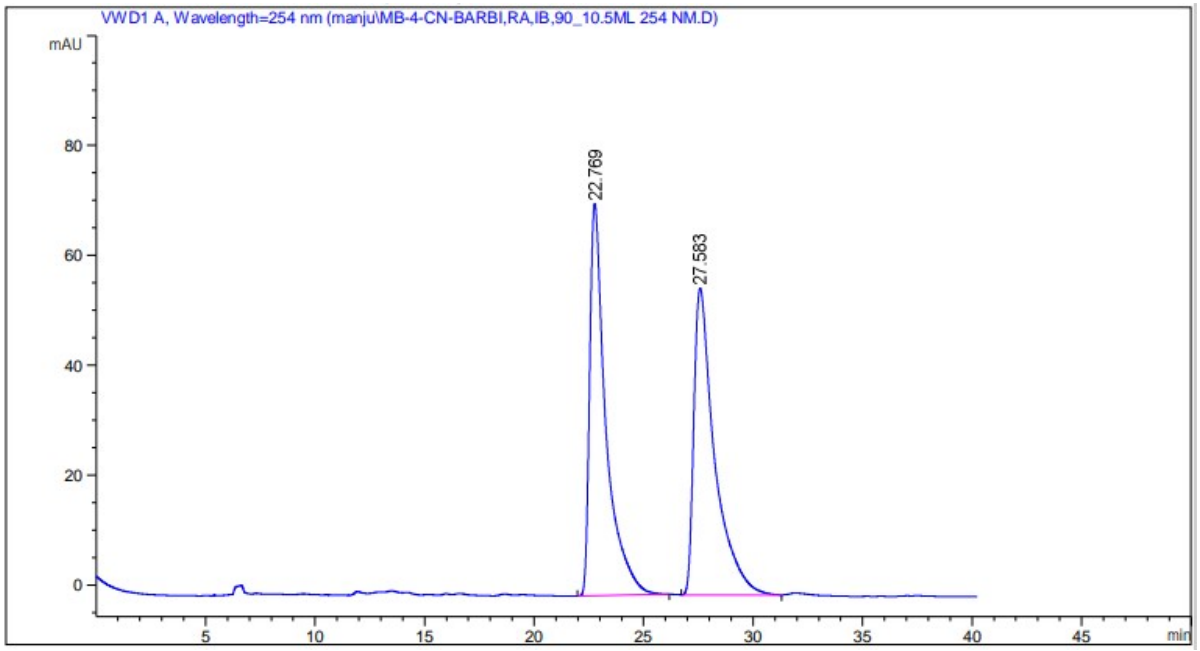
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.568	BV	1.0485	9.35315e4	1351.32068	49.5517
2	26.559	VV R	1.1467	9.52239e4	1210.60645	50.4483



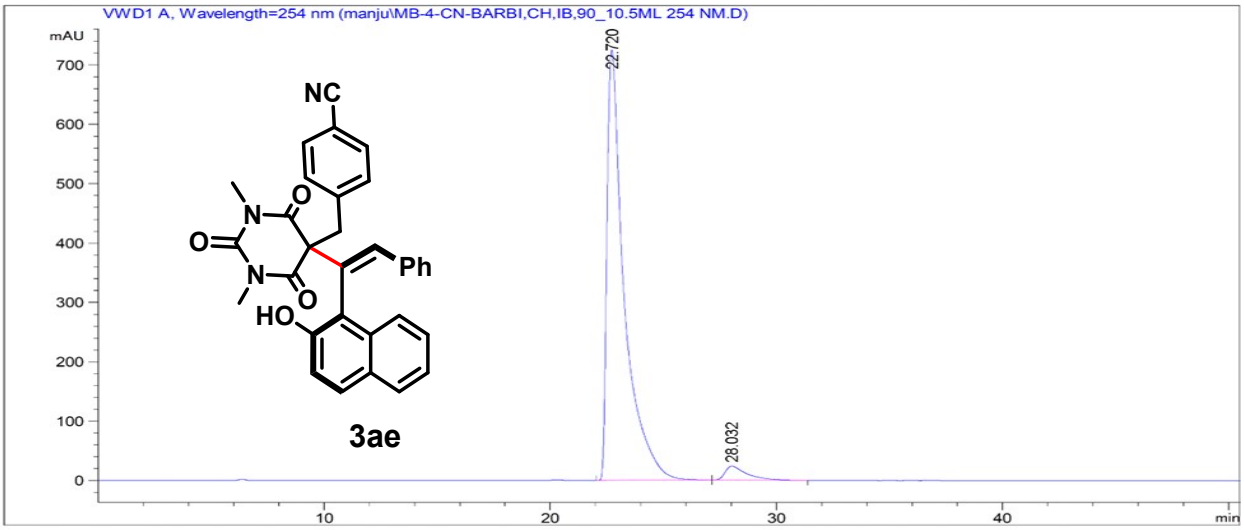
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.614	MF	1.1609	5.68524e4	816.21155	95.5241
2	26.996	FM	1.3447	2663.91431	33.01637	4.4759



Signal 1: VWD1 A, Wavelength=254 nm

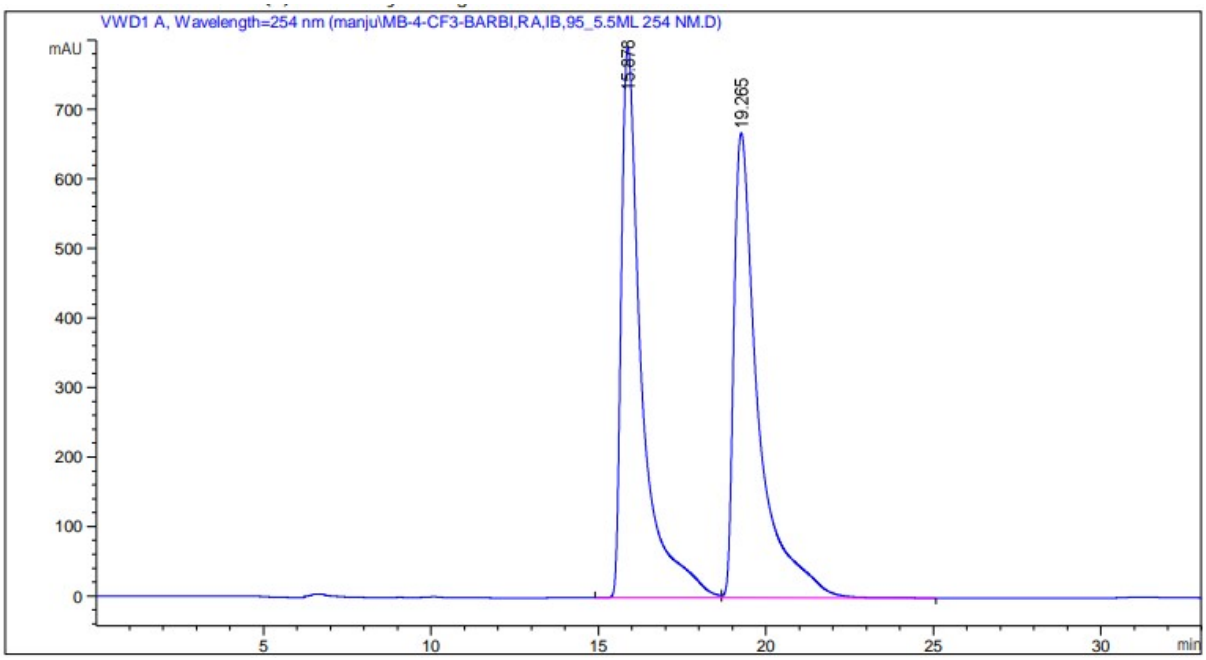
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.769	BB	0.7319	3685.17725	71.30690	50.0647
2	27.583	BB	0.9319	3675.65869	55.77050	49.9353



Signal 1: VWD1 A, Wavelength=254 nm

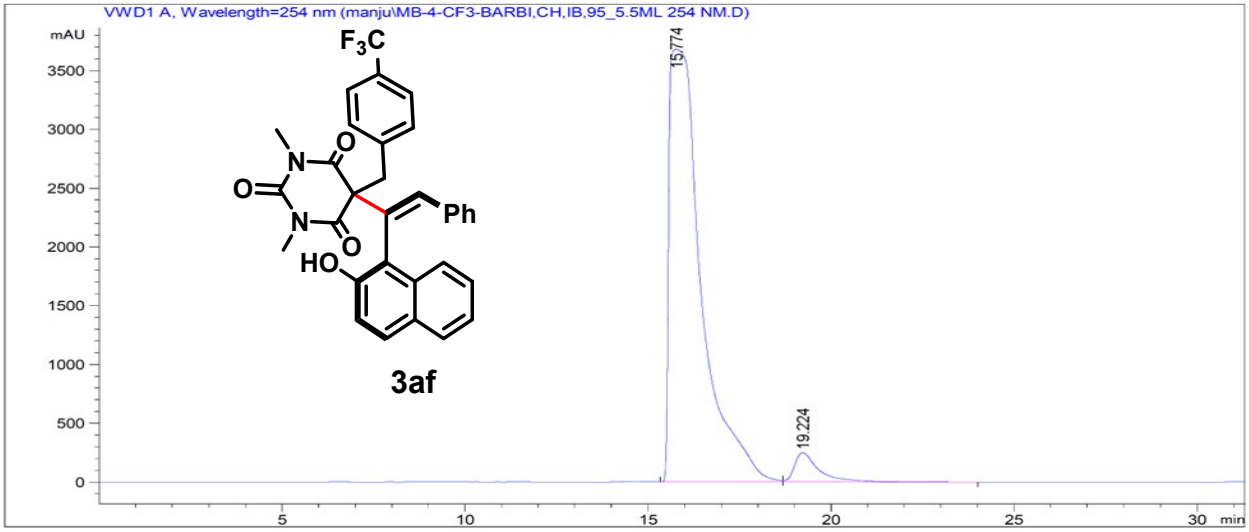
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.720	BB	0.7511	3.84667e4	724.86359	96.0371
2	28.032	BB	0.9385	1587.29333	23.72492	3.9629

HPLC, Chiralpak IB, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.878	BV	0.6207	3.36832e4	792.76074	49.8814
2	19.265	VB	0.7370	3.38434e4	669.16449	50.1186



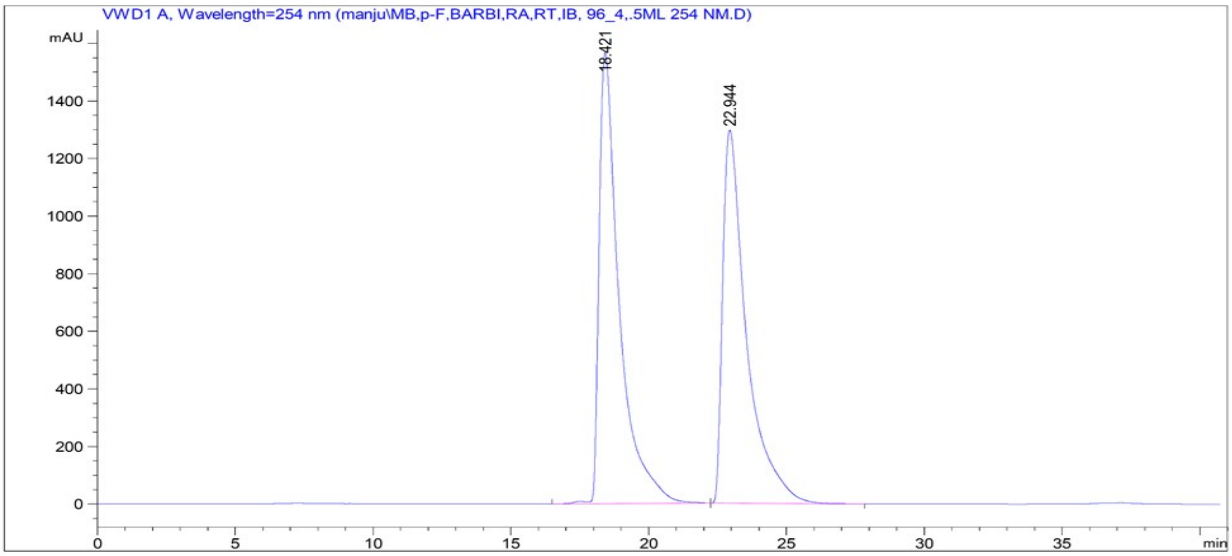
3af

Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.774	BV	0.7283	2.24735e5	3680.86572	95.0670
2	19.224	VB	0.6786	1.16615e4	249.36702	4.9330

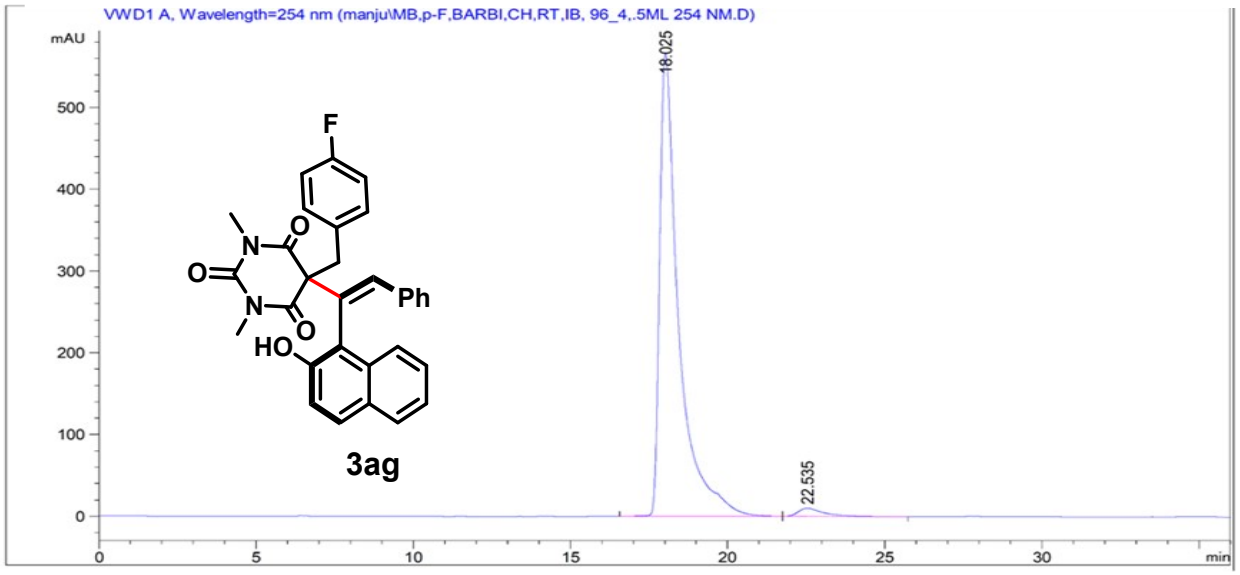
HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

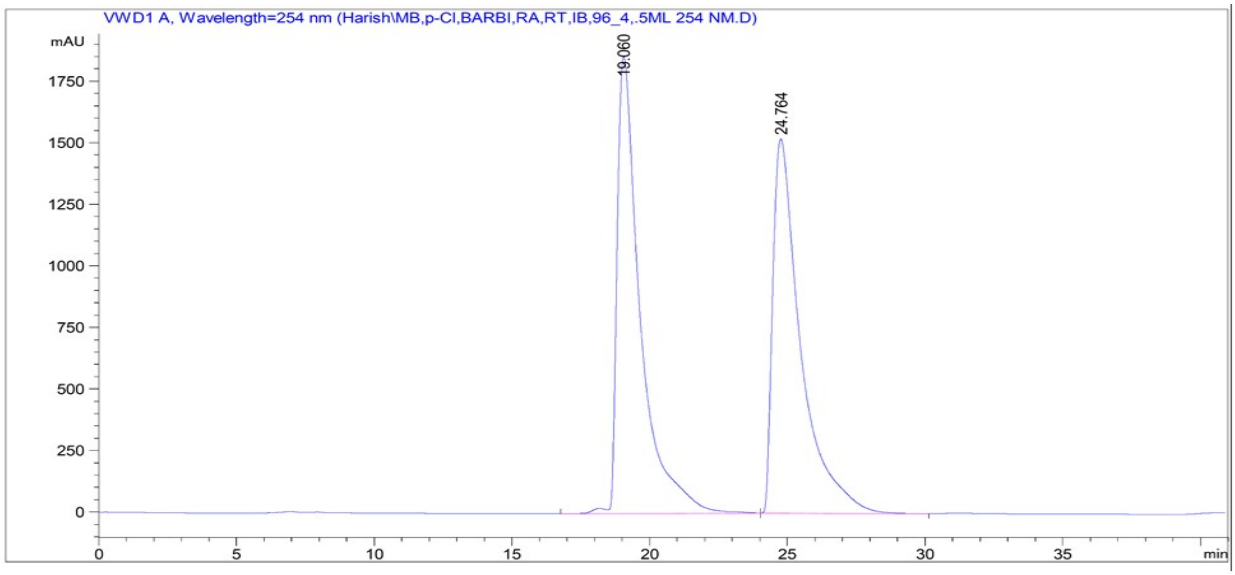
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.421	VB R	0.7348	7.96223e4	1566.82666	50.5892
2	22.944	BB	0.8665	7.77675e4	1295.27881	49.4108



Signal 1: VWD1 A, Wavelength=254 nm

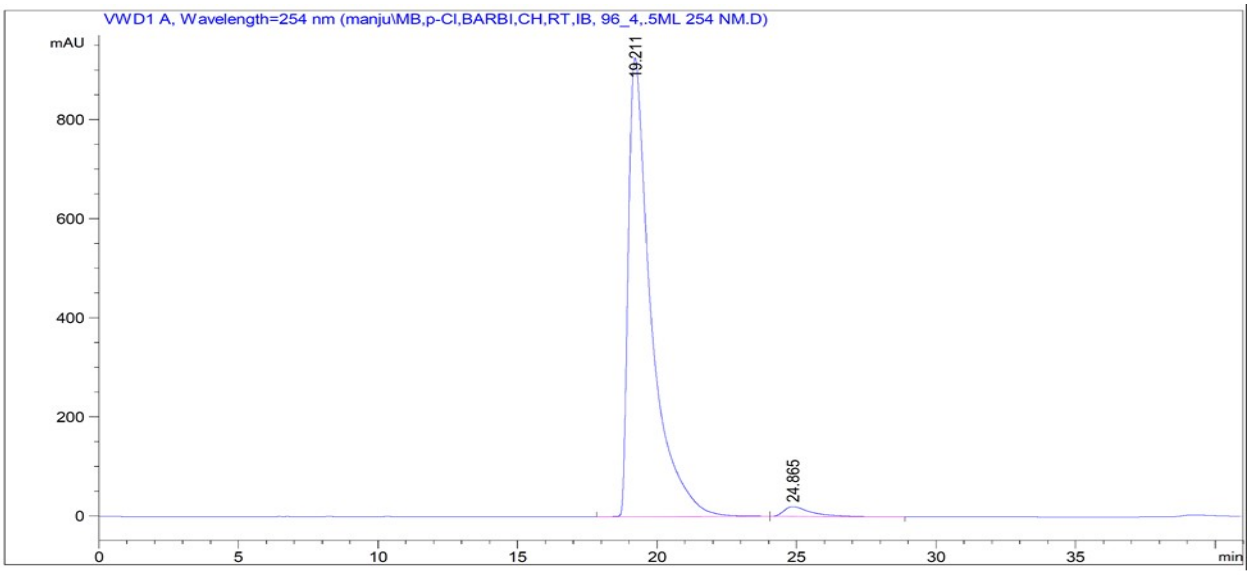
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.025	BV R	0.6092	2.38940e4	565.99902	97.7007
2	22.535	BB	0.8027	562.31586	9.97530	2.2993

HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

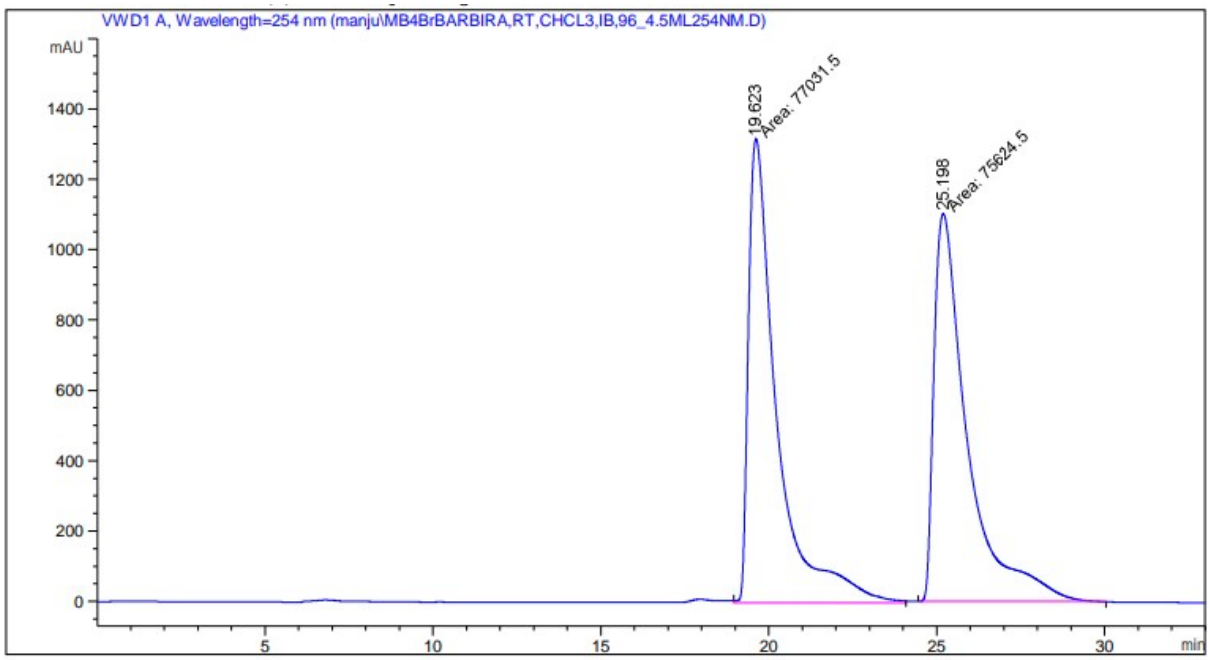
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.060	VB R	0.8507	1.08718e5	1855.72034	50.3867
2	24.764	BV R	1.0296	1.07049e5	1520.02527	49.6133



Signal 1: VWD1 A, Wavelength=254 nm

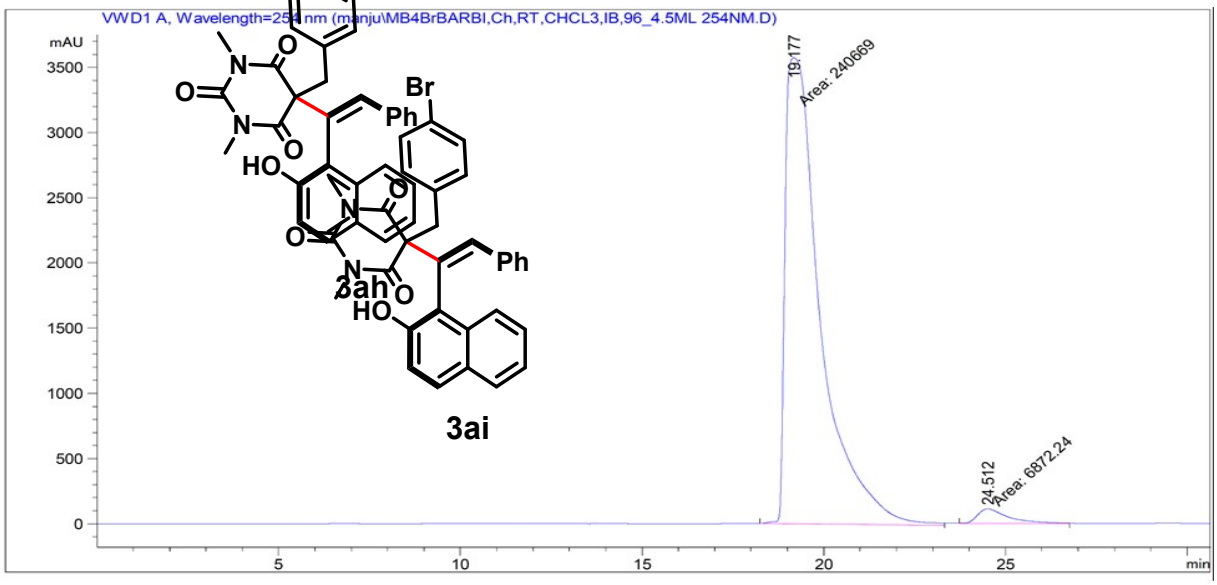
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.211	BB	0.8255	5.24350e4	926.11542	97.4591
2	24.865	BB	0.9890	1367.03394	20.03608	2.5409

HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.623	MM	0.9743	7.70315e4	1317.69360	50.4609
2	25.198	MM	1.1451	7.56245e4	1100.74292	49.5391

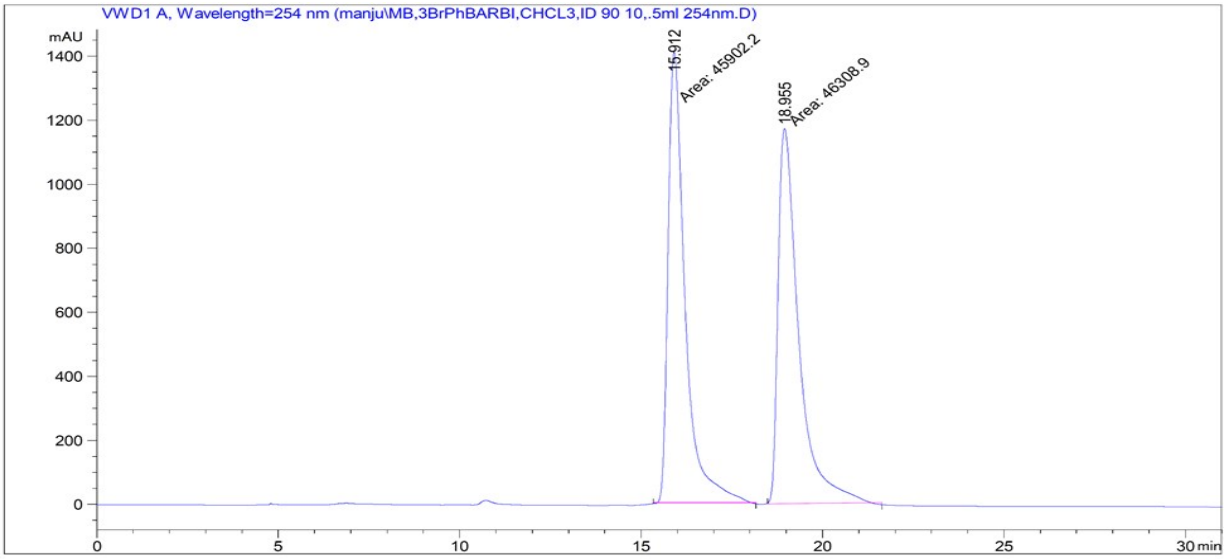


3ai

Signal 1: VWD1 A, Wavelength=254 nm

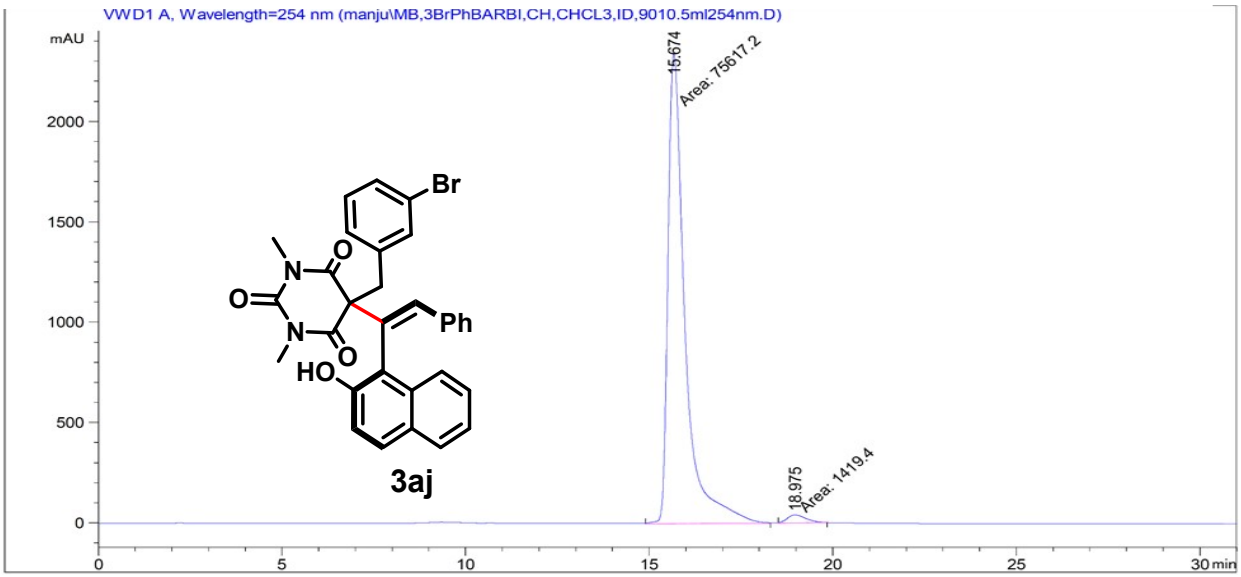
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.177	MM	1.1219	2.40669e5	3575.28491	97.2238
2	24.512	MM	1.0142	6872.24268	112.93354	2.7762

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

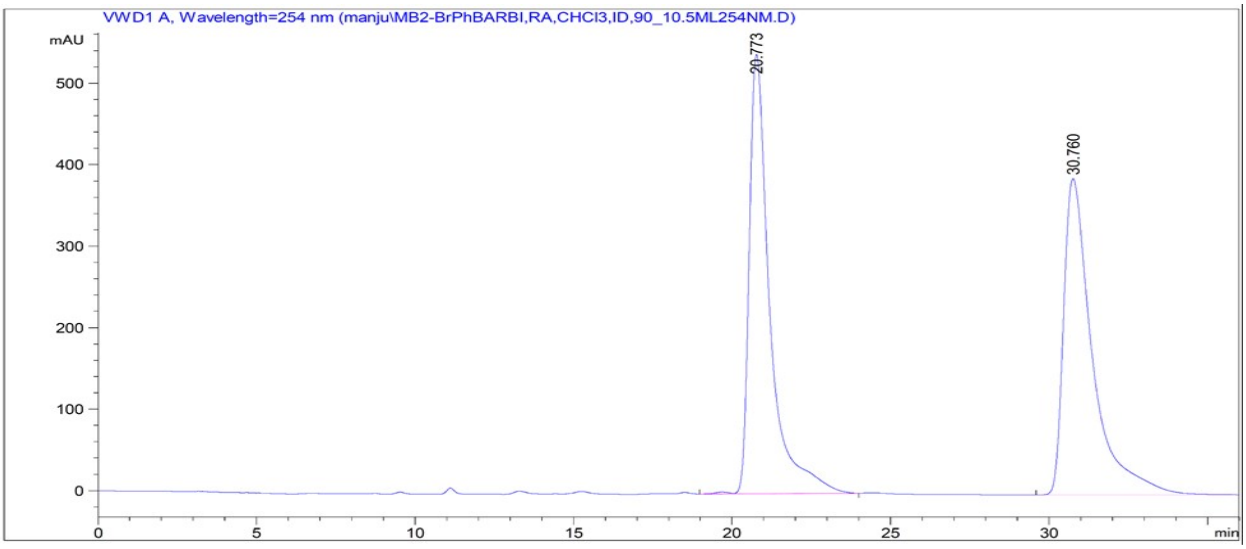
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.912	MM	0.5433	4.59022e4	1408.17090	49.7795
2	18.955	MM	0.6582	4.63089e4	1172.61987	50.2205



Signal 1: VWD1 A, Wavelength=254 nm

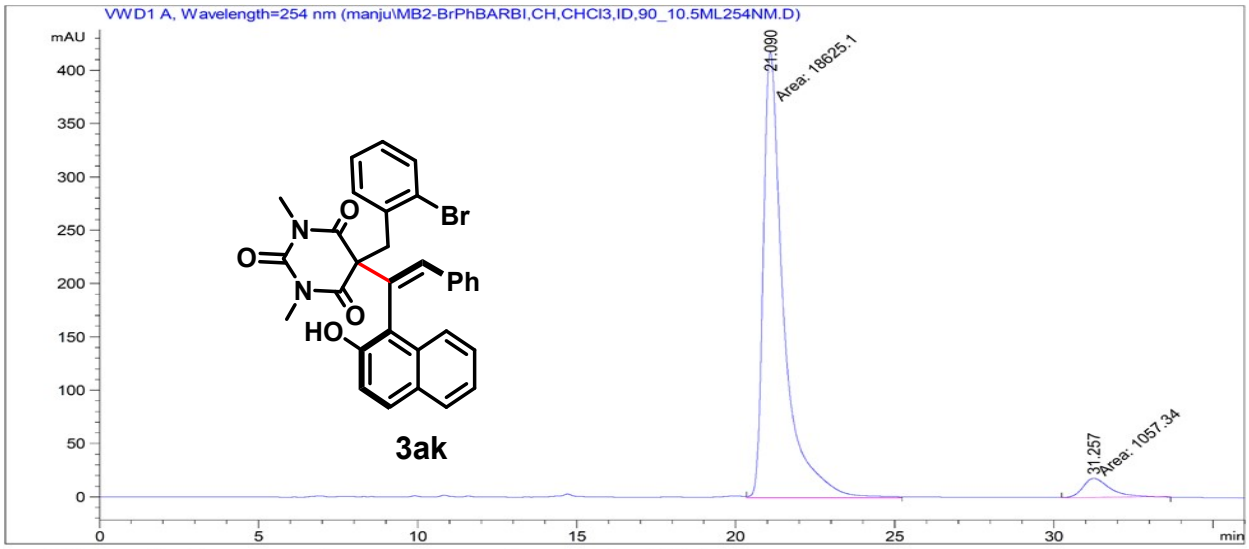
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.674	MM	0.5387	7.56172e4	2339.35034	98.1575
2	18.975	MM	0.5856	1419.39880	40.39628	1.8425

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



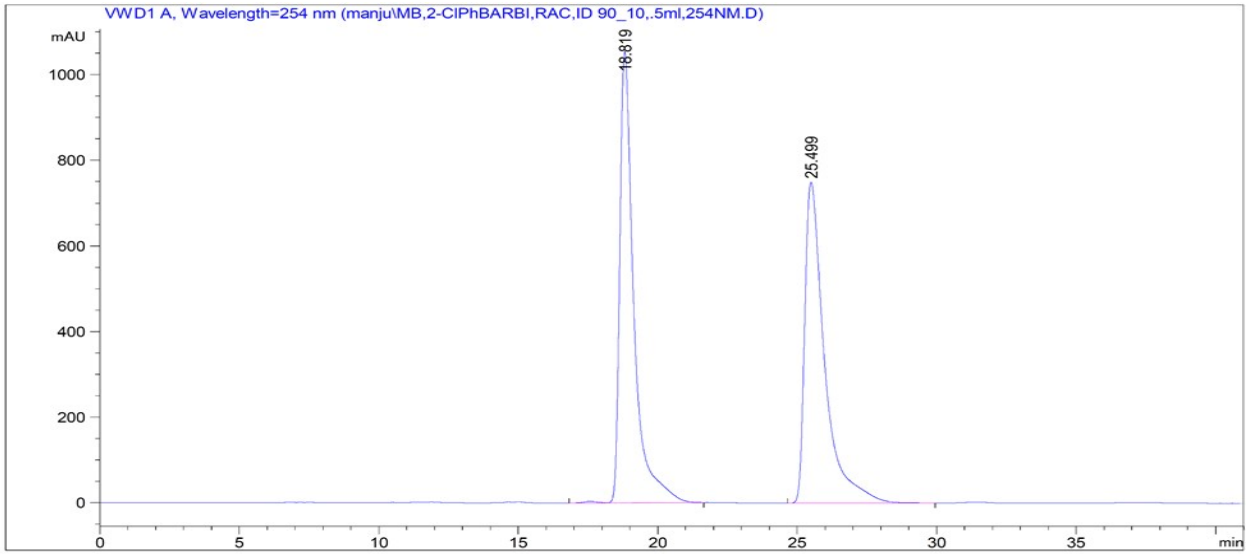
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.773	VB R	0.6628	2.42504e4	538.77271	49.7496
2	30.760	BB	0.9292	2.44945e4	388.16113	50.2504



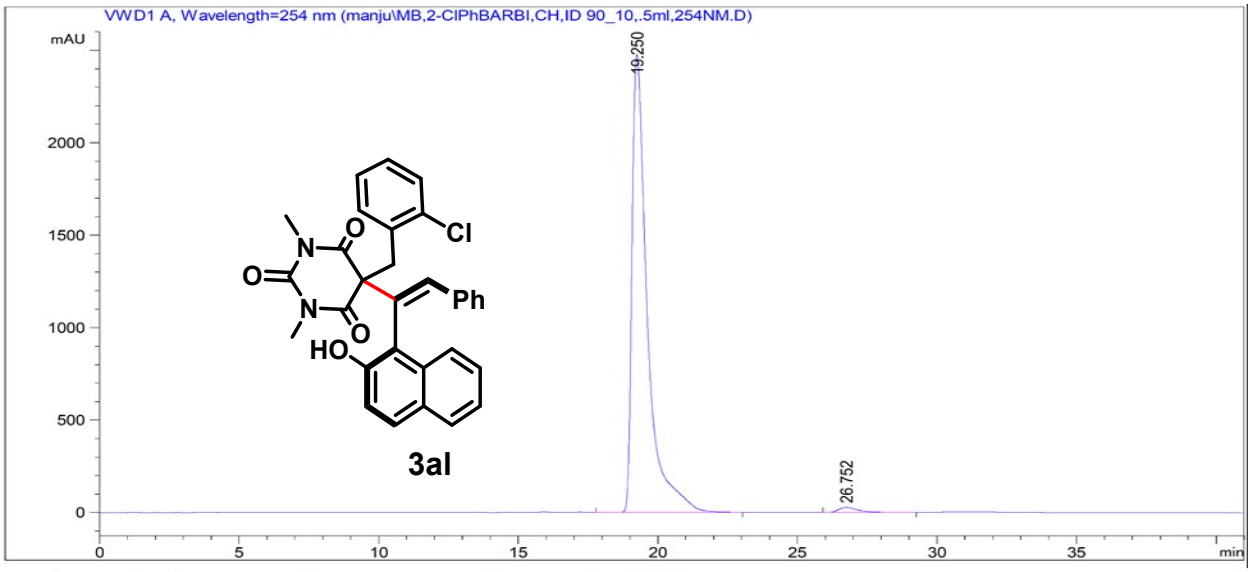
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.090	MM	0.7423	1.86251e4	418.16913	94.6280
2	31.257	MM	0.9803	1057.34363	17.97721	5.3720



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.819	VB R	0.5186	3.70633e4	1054.49402	50.0383
2	25.499	BB	0.7186	3.70065e4	750.05292	49.9617



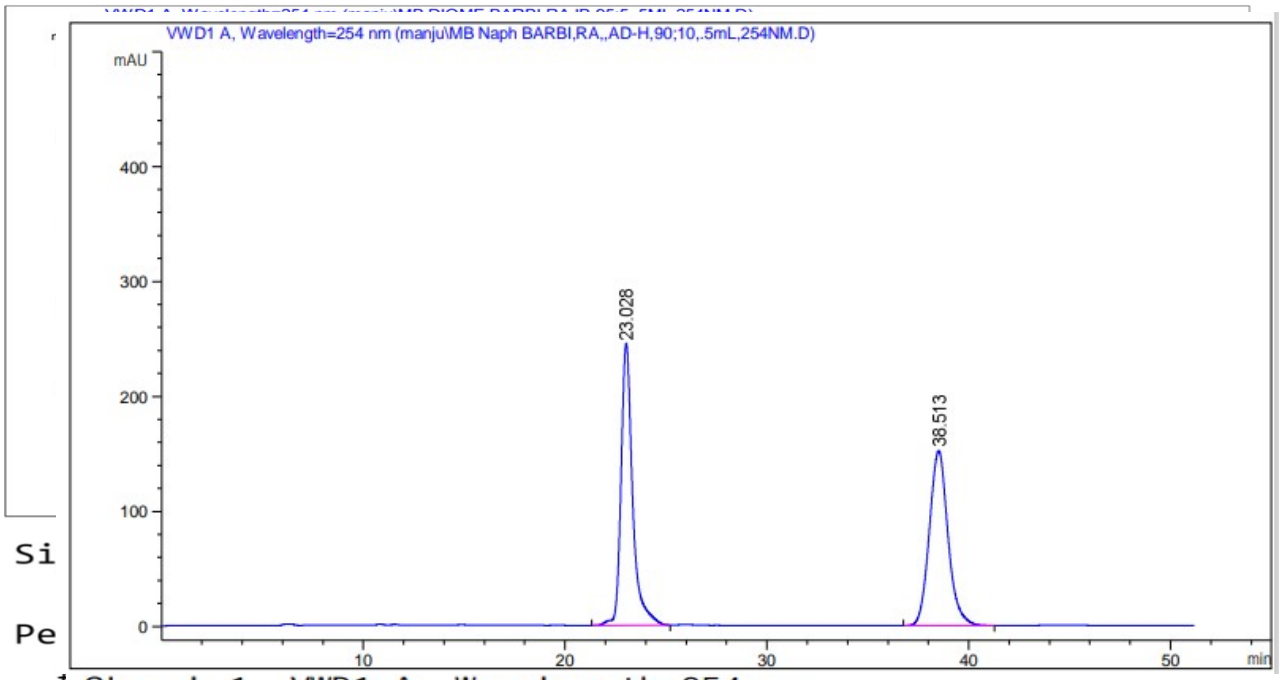
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.250	VB R	0.5568	9.44373e4	2477.22876	98.5080
2	26.752	BB	0.7546	1430.34167	28.15902	1.4920

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm

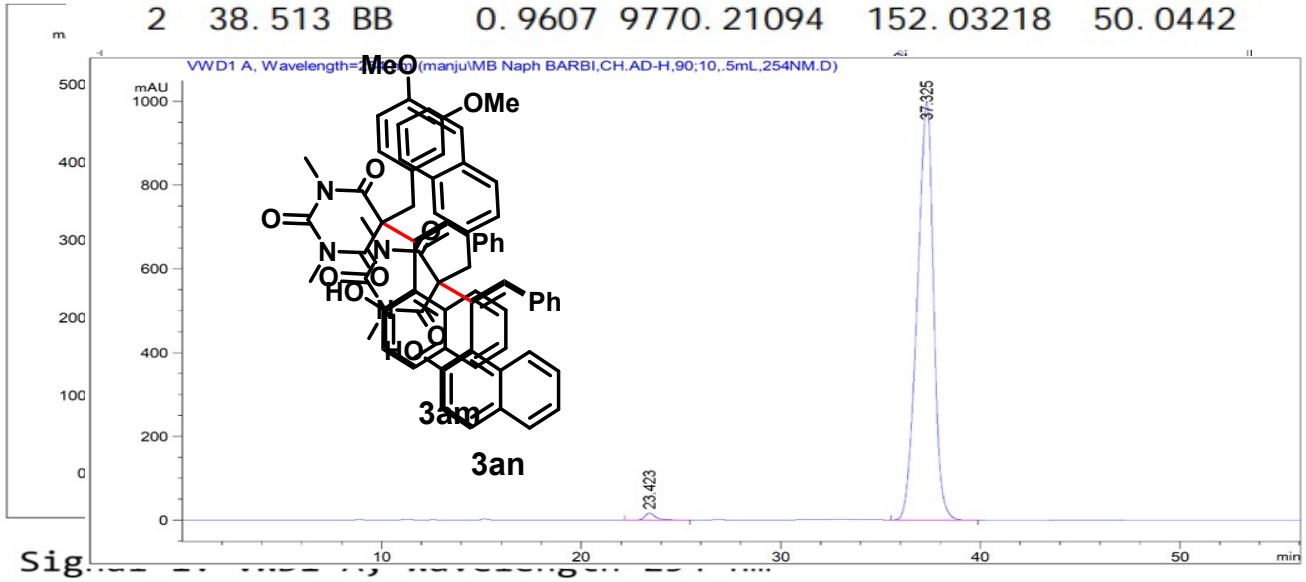
HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ =254 nm

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



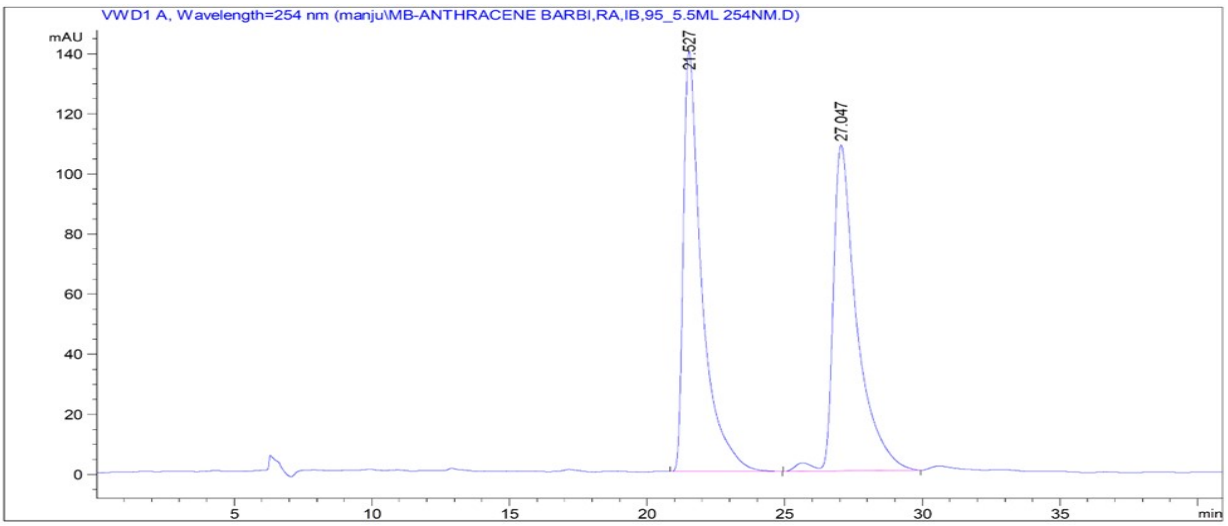
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.028	BB	0.5942	9752.93848	245.13474	49.9558
2	38.513	BB	0.9607	9770.21094	152.03218	50.0442



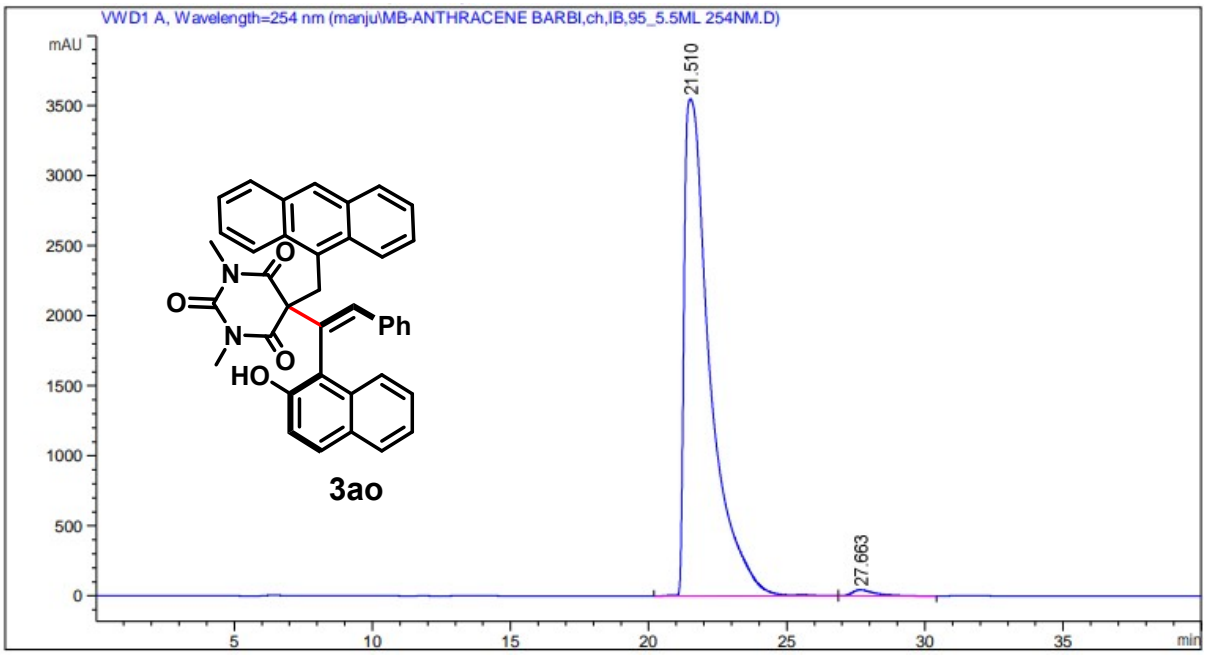
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.423	BB	0.5803	674.26965	17.16501	1.1758
2	37.325	BB	0.8525	5.66691e4	999.51361	98.8242



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.527	BB	0.6580	6521.49512	139.86139	50.1011
2	27.047	VB R	0.8343	6495.16650	108.47273	49.8989

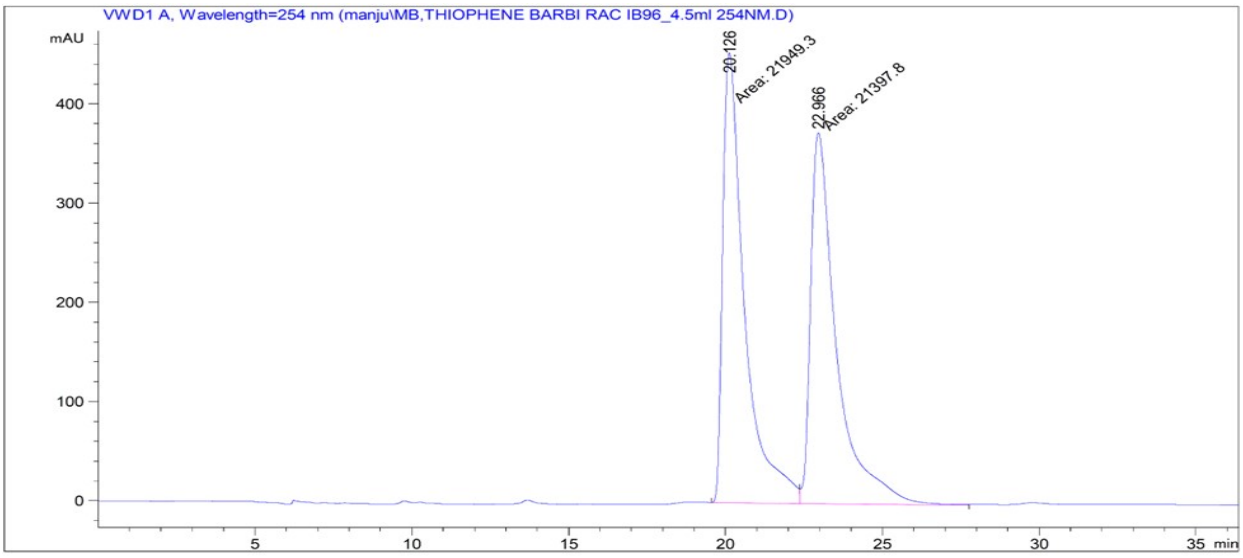


Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.510	VV R	0.9431	2.29305e5	3549.55688	98.9056
2	27.663	BB	0.8523	2537.20264	43.51282	1.0944

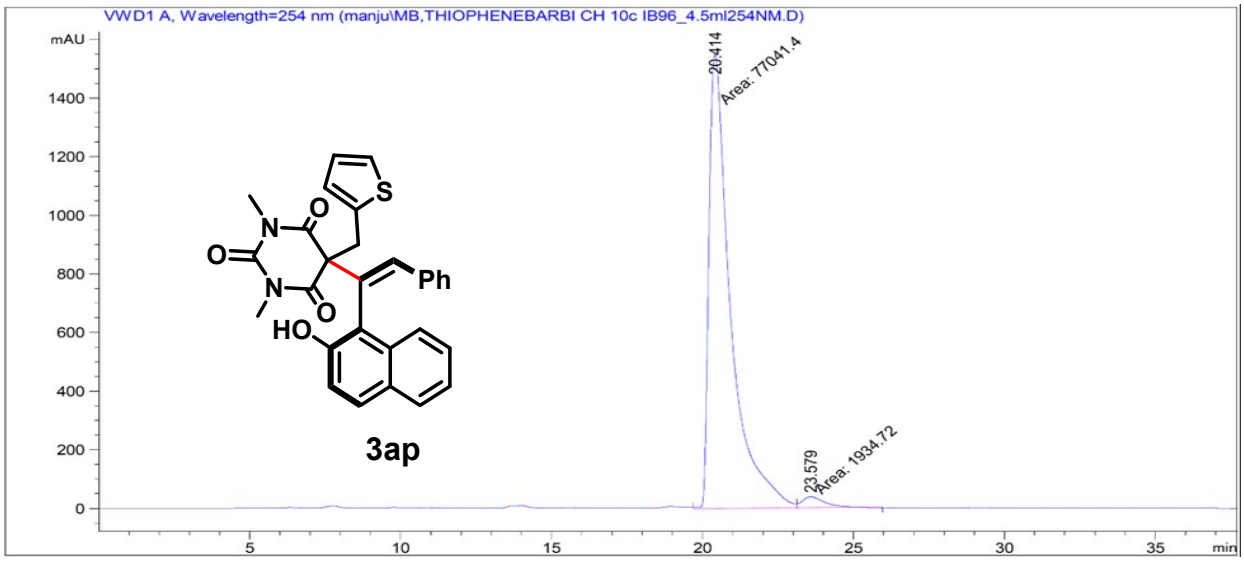
HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak IB, hexane:isopropanol = 96:4, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

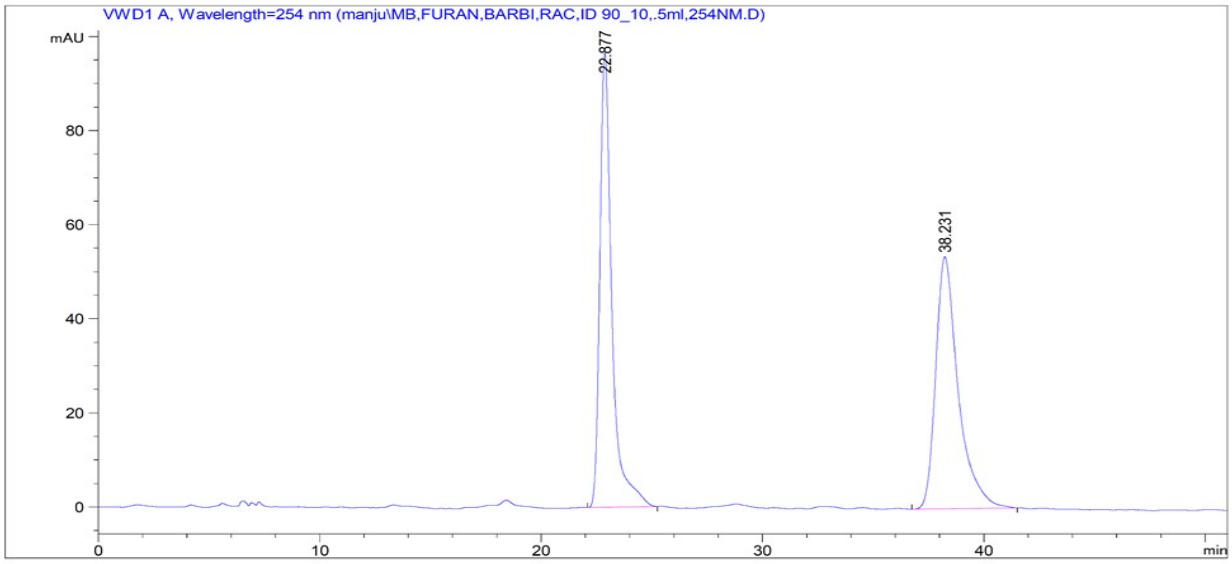
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.126	MF	0.8070	2.19493e4	453.32965	50.6361
2	22.966	MF	0.9538	2.13978e4	373.89407	49.3639



Signal 1: VWD1 A, Wavelength=254 nm

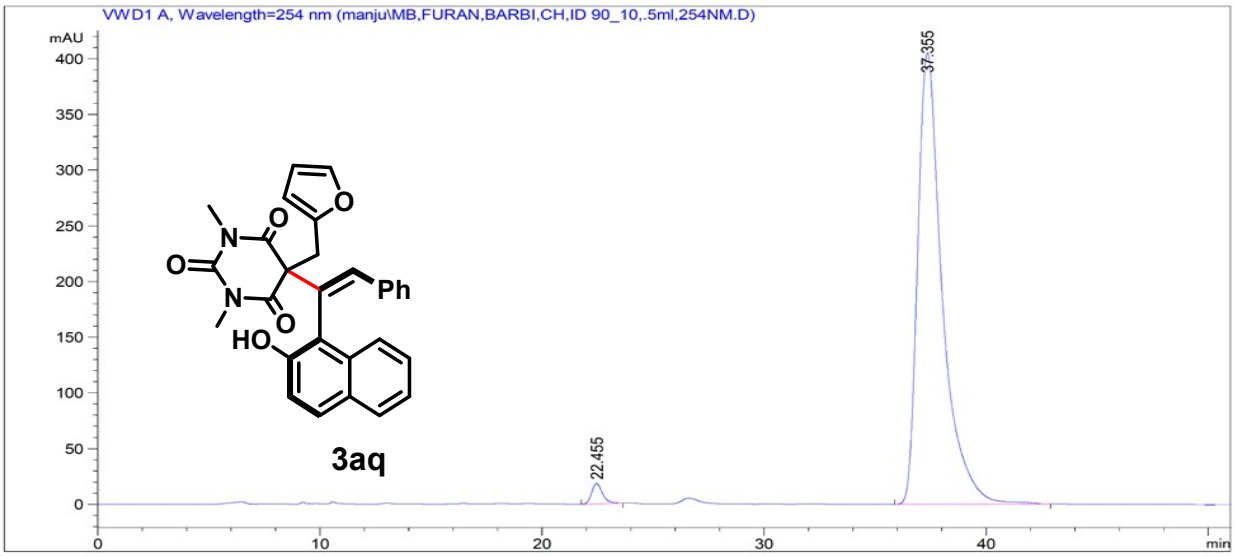
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.414	MF	0.8283	7.70414e4	1550.15479	97.5502
2	23.579	FM	0.8634	1934.72278	37.34756	2.4498

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

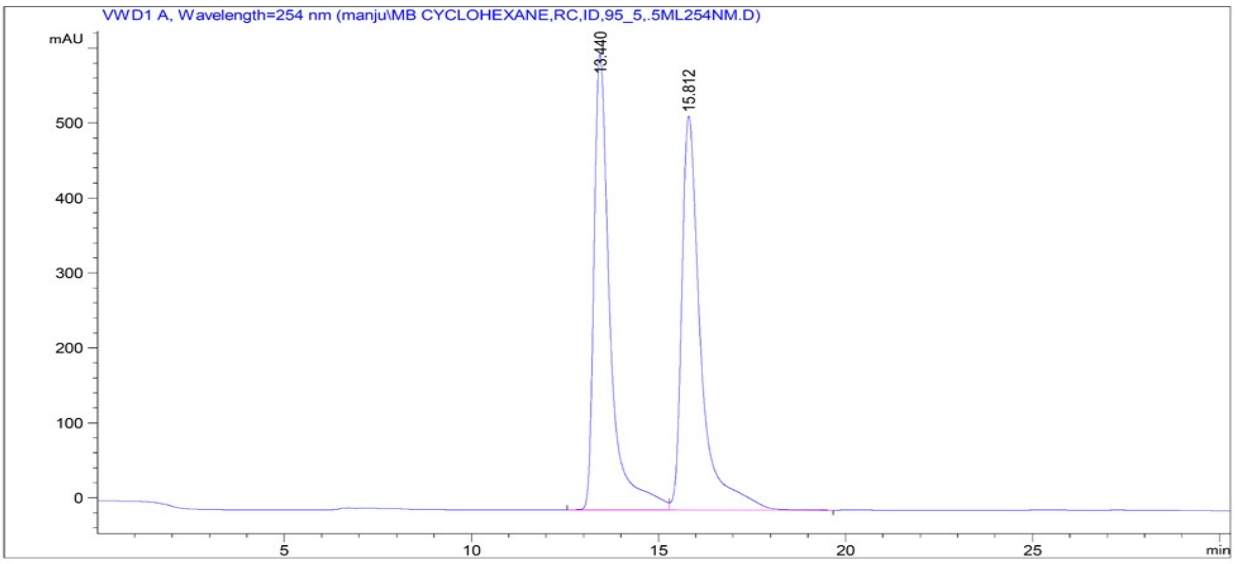
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.877	BB	0.5600	3664.41553	96.50531	49.1498
2	38.231	BB	1.0335	3791.18359	53.57800	50.8502



Signal 1: VWD1 A, Wavelength=254 nm

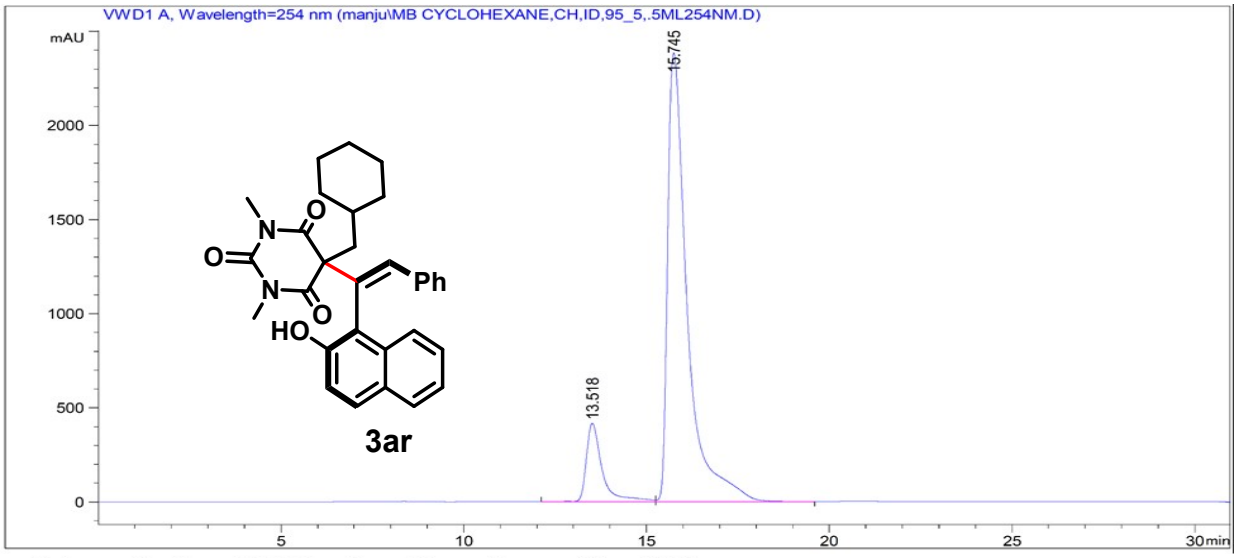
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.455	BB	0.5165	613.57635	18.28670	1.9294
2	37.355	BB	1.1213	3.11884e4	405.07016	98.0706

HPLC, Chiralpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

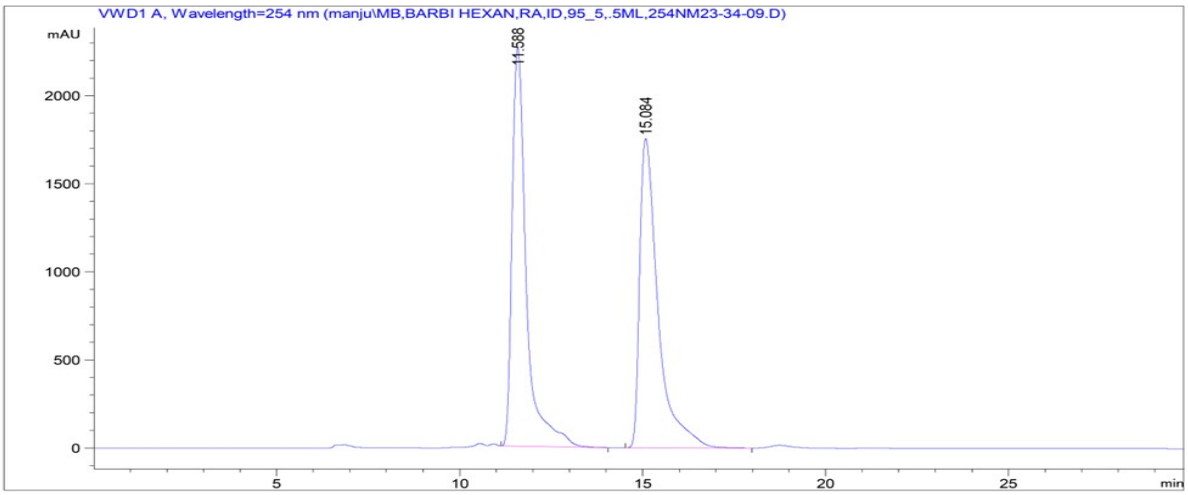
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.440	BV	0.4482	1.82701e4	608.61731	49.6415
2	15.812	VB	0.5265	1.85340e4	525.52289	50.3585



Signal 1: VWD1 A, Wavelength=254 nm

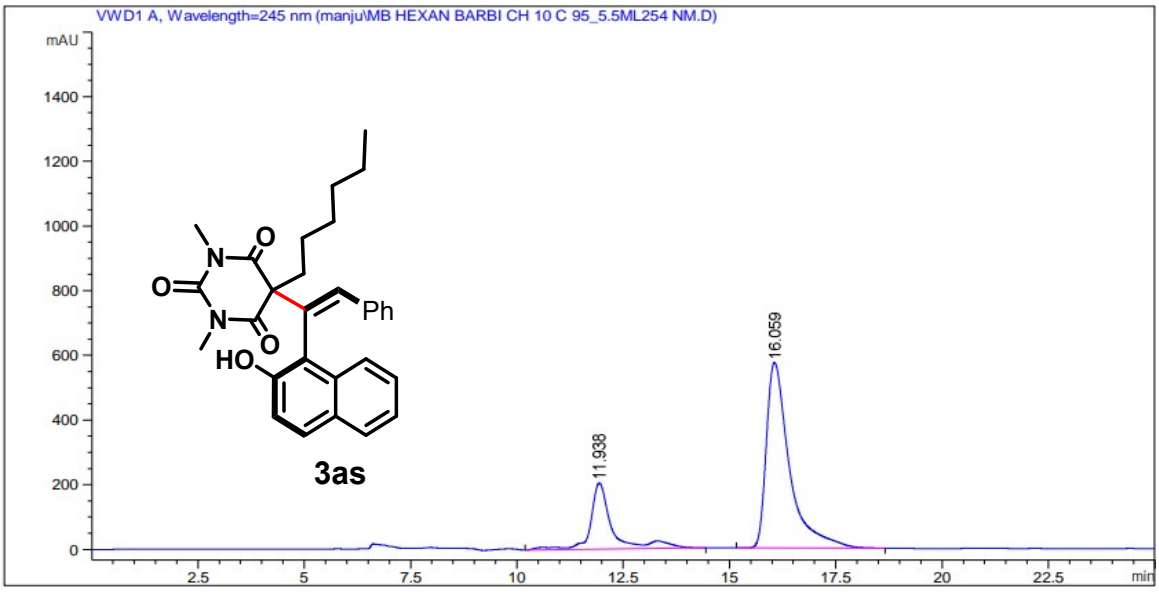
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.518	VV R	0.4488	1.25813e4	416.02408	12.4119
2	15.745	VB	0.5562	8.87837e4	2385.69287	87.5881

HPLC, Chialpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm



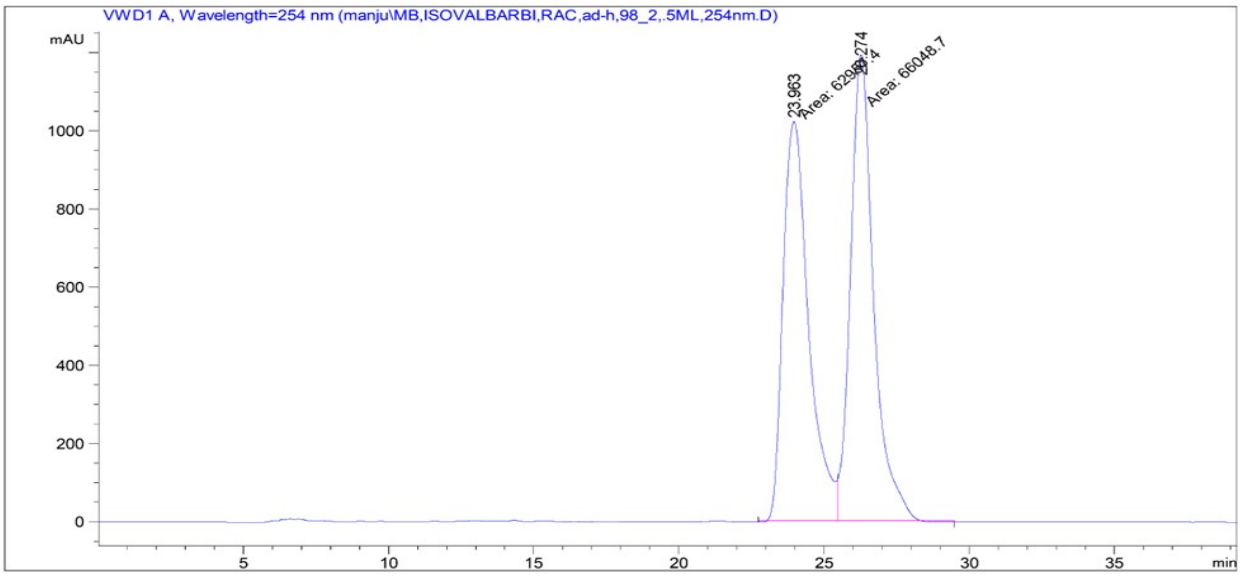
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.588	BB	0.4131	6.13139e4	2263.17017	50.0367
2	15.084	BB	0.5177	6.12239e4	1756.09021	49.9633



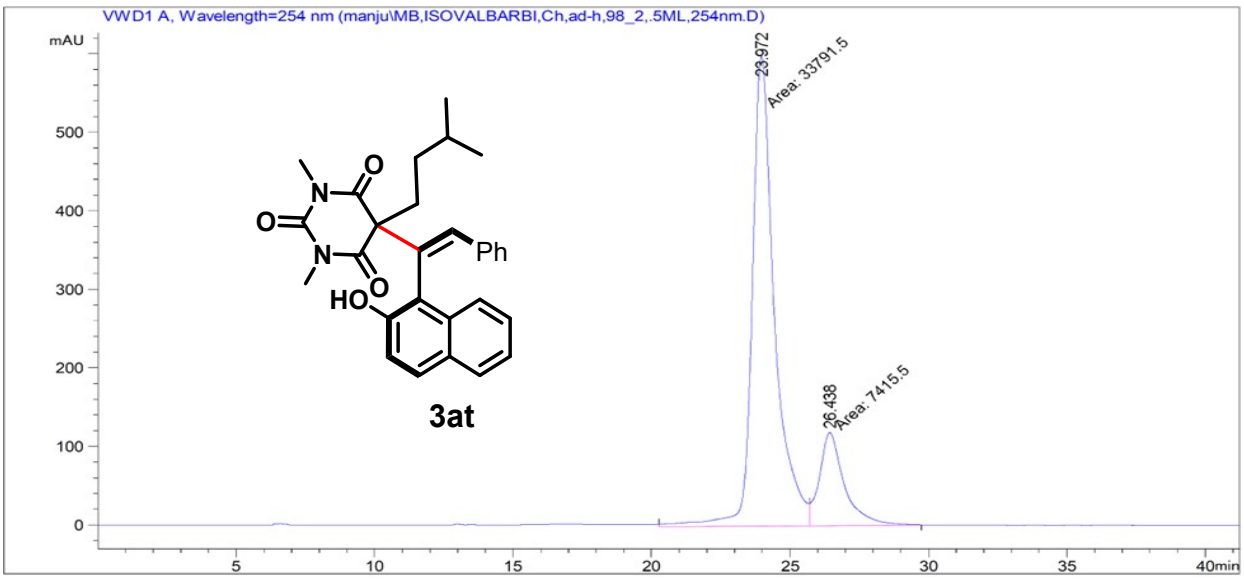
Signal 1: VWD1 A, Wavelength=245 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.938	VV R	0.4652	7375.41357	204.90668	25.2690
2	16.059	BB	0.5654	2.18121e4	573.93597	74.7310



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.963	MF	1.0278	6.29574e4	1020.91553	48.8019
2	26.274	FM	0.9237	6.60487e4	1191.74438	51.1981



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.972	MF	0.9405	3.37915e4	598.83795	82.0043
2	26.438	FM	1.0438	7415.49707	118.40724	17.9957

HPLC, Chiralpak AD-H, hexane:isopropanol = 98:2, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak ID, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

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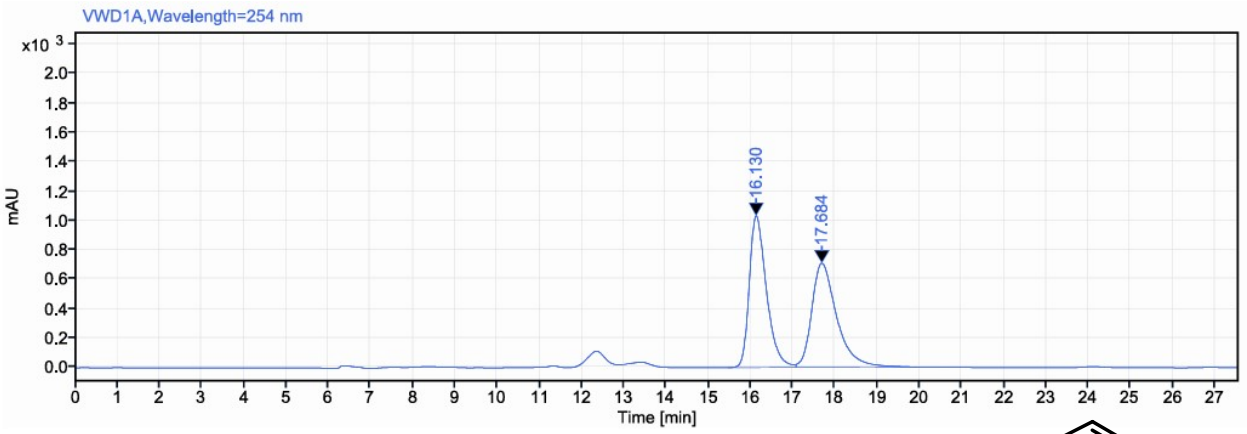
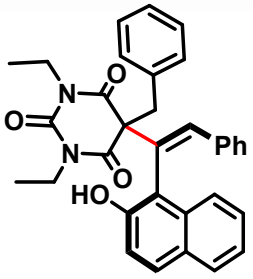
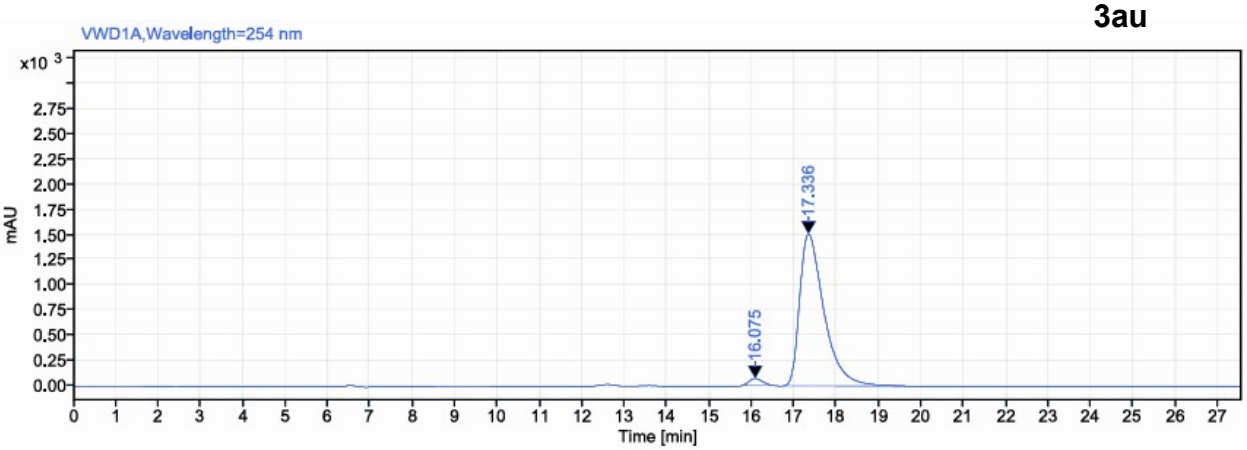


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
16.130	1.62	29246.87	1034.33	50.00
17.684	2.90	29247.17	710.18	50.00
	Sum	58494.04		



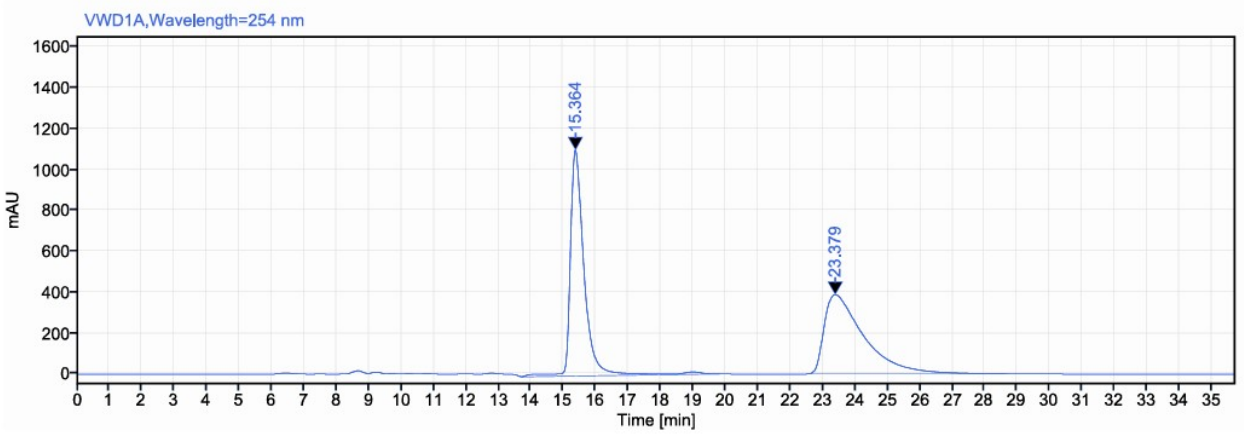
3au



Signal: VWD1A,Wavelength=254 nm

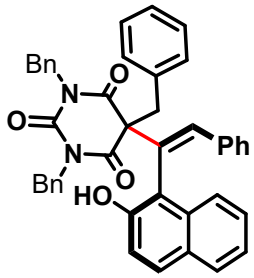
RT [min]	Width [min]	Area	Height	Area%
16.075	0.69	1425.17	66.45	2.30
17.336	3.19	60552.67	1510.16	97.70
	Sum	61977.84		

HPLC, Chiralpak ID, hexane:isopropanol = 80:20, 0.5 mL/min, λ = 254 nm

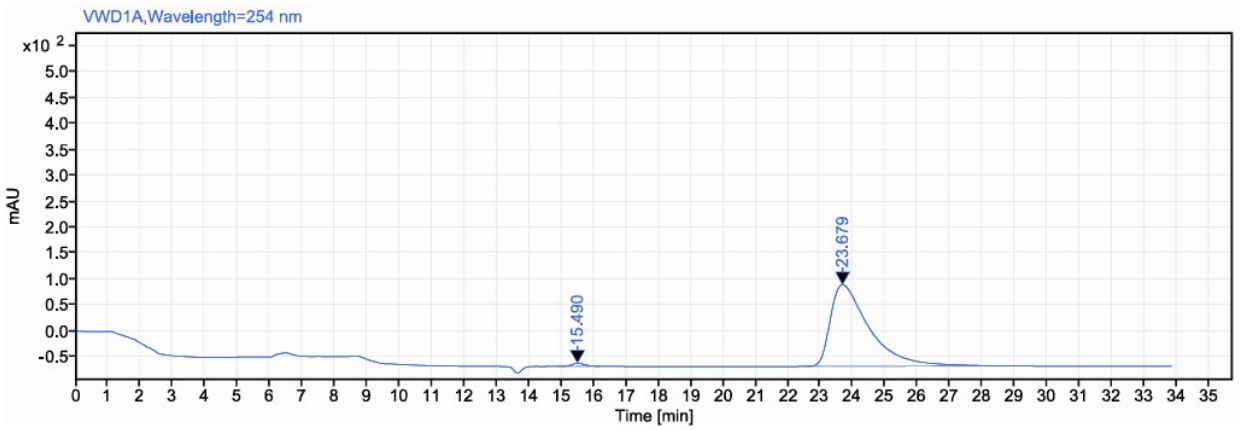


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
15.364	6.11	33018.22	1104.79	49.98
23.379	6.21	33046.91	387.77	50.02
	Sum	66065.13		



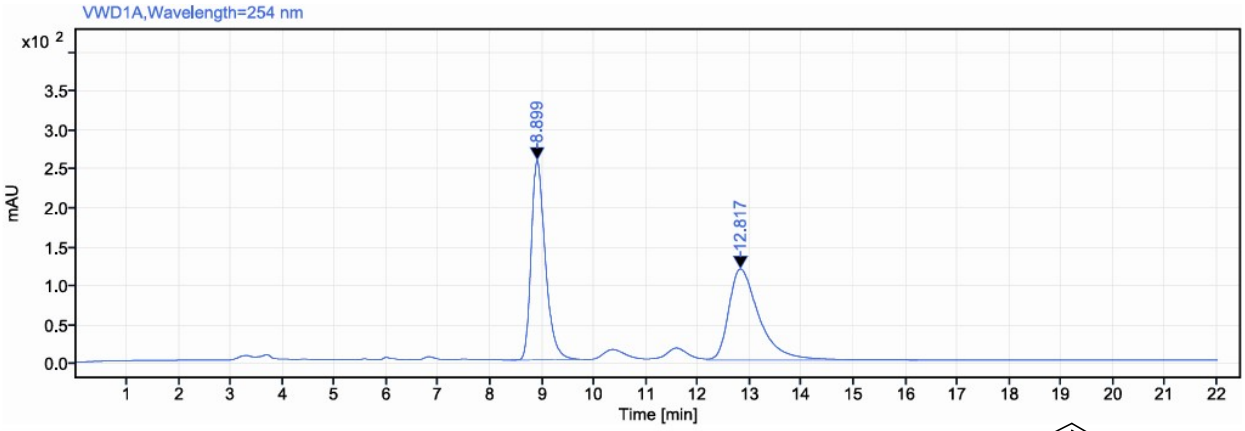
3av



Signal: VWD1A,Wavelength=254 nm

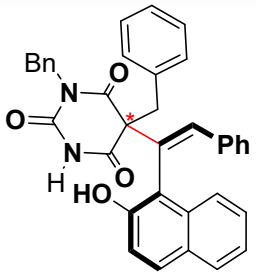
RT [min]	Width [min]	Area	Height	Area%
15.490	1.28	159.34	6.02	1.19
23.679	6.53	13193.80	157.75	98.81
	Sum	13353.14		

HPLC, Chiralpak ID, hexane:isopropanol = 85:15, 1 mL/min, λ = 254 nm

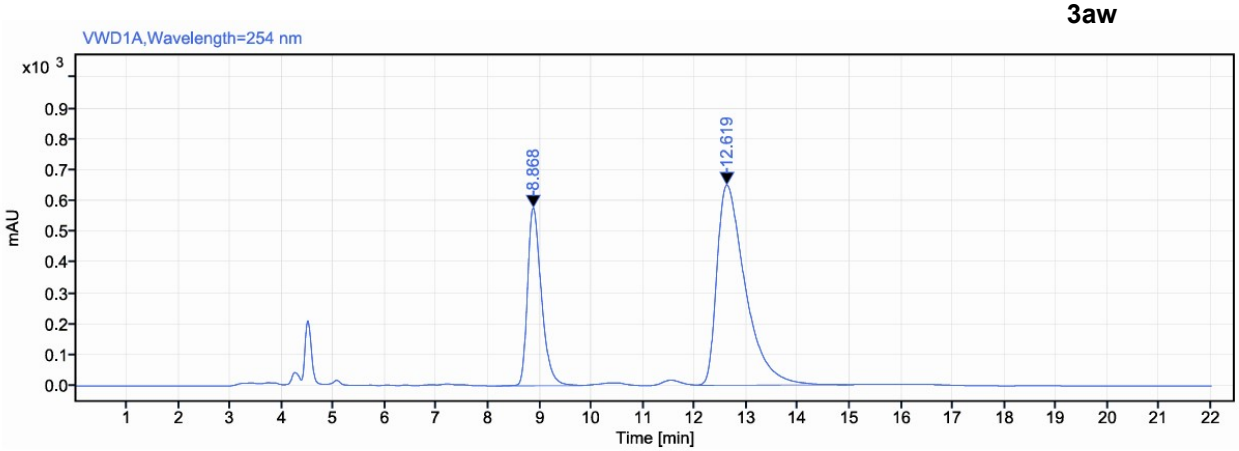


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
8.899	1.32	4811.62	255.74	50.20
12.817	4.05	4773.71	116.92	49.80
	Sum	9585.33		



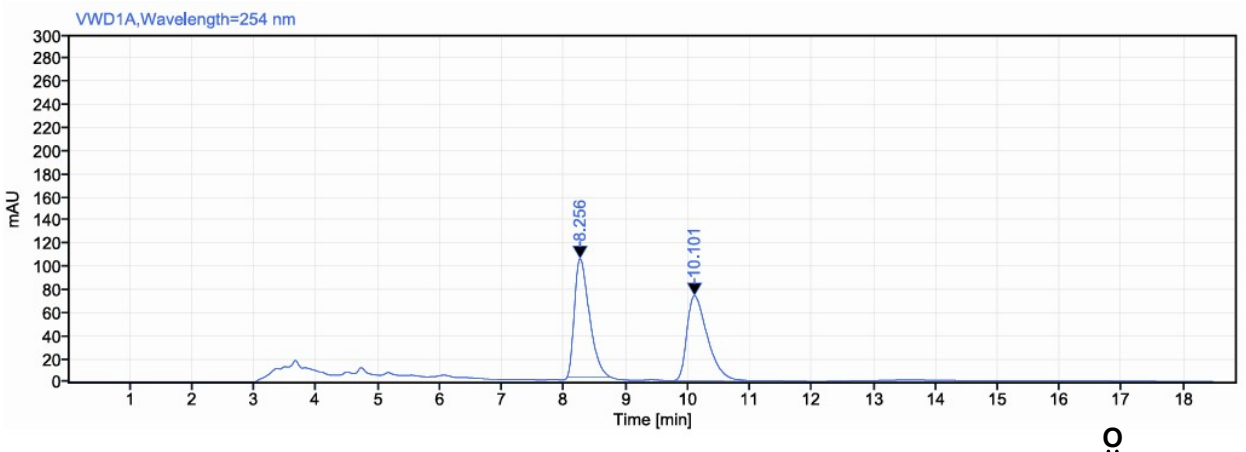
3aw

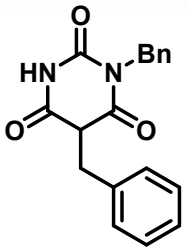


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
8.868	1.68	10796.17	576.91	30.28
12.619	2.89	24863.95	649.00	69.72
	Sum	35660.13		

HPLC, Chiralpak ID, hexane:isopropanol = 50:50, 1 mL/min, λ = 254 nm

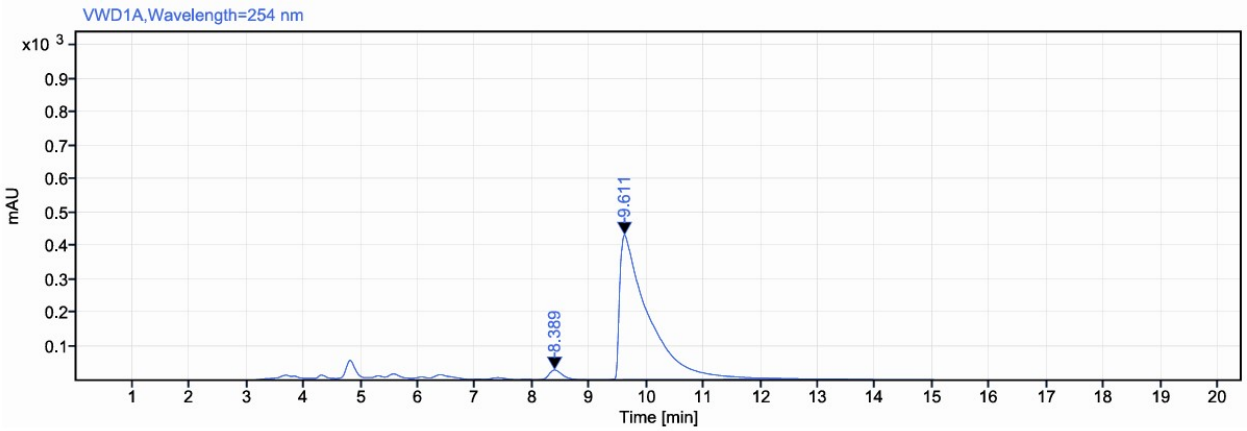




2w

Signal: VWD1A,Wavelength=254 nm

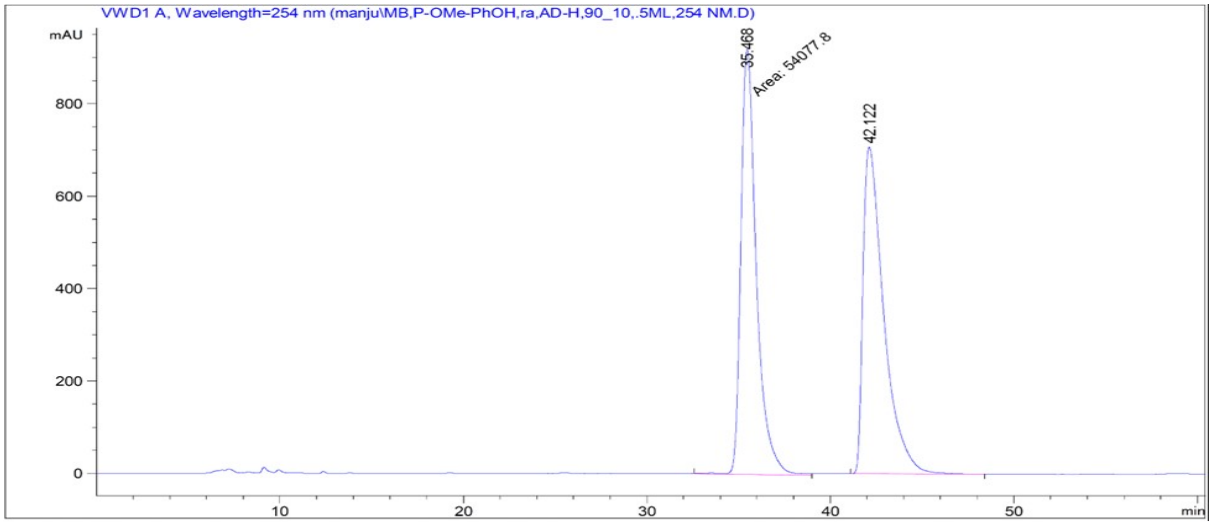
RT [min]	Width [min]	Area	Height	Area%
8.256	0.70	1709.93	102.16	50.45
10.101	1.18	1679.11	73.43	49.55
	Sum	3389.04		



Signal: VWD1A,Wavelength=254 nm

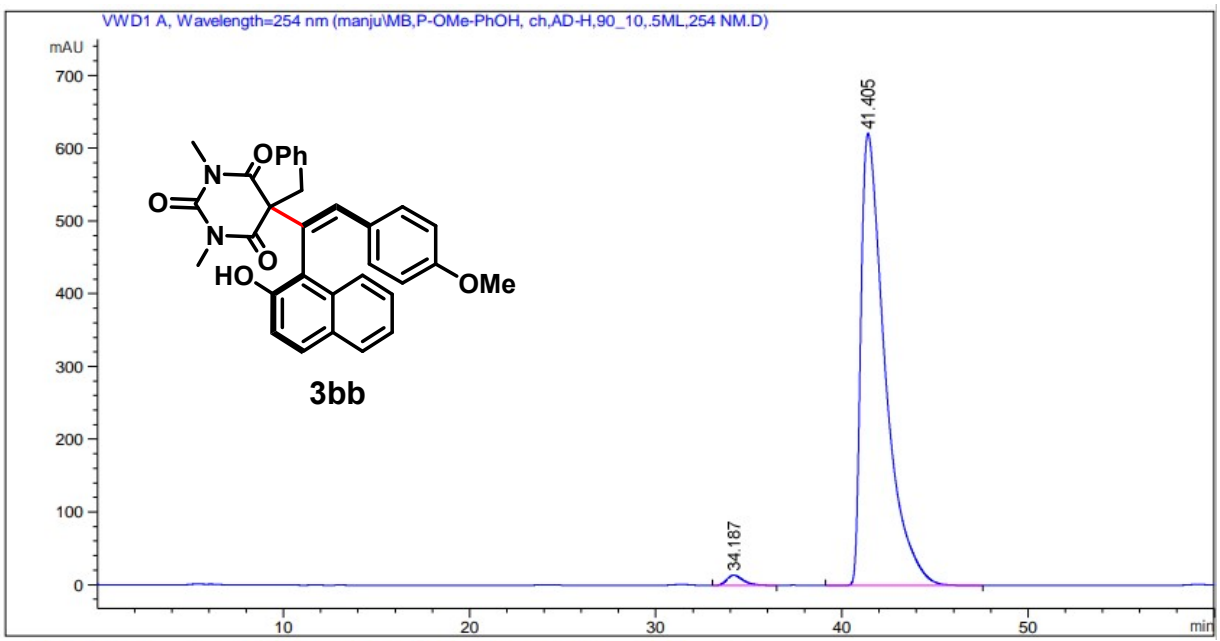
RT [min]	Width [min]	Area	Height	Area%
8.389	0.83	460.50	29.05	2.96
9.611	3.94	15092.13	432.66	97.04
	Sum	15552.63		

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	35.468	MM	0.9791	5.40778e4	920.51251	49.3125
2	42.122	BB	1.1470	5.55857e4	706.38971	50.6875

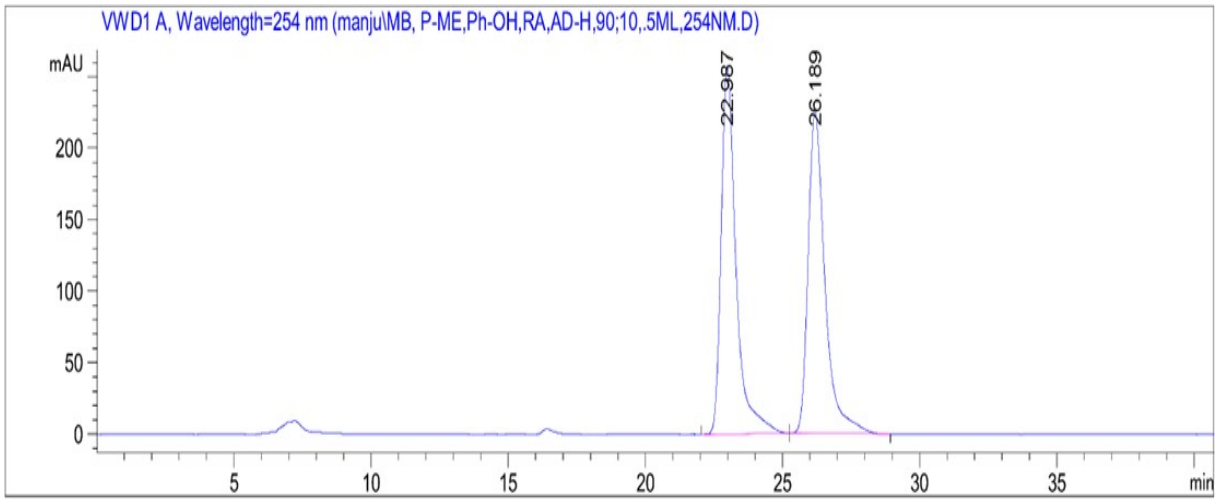


3bb

Signal 1: VWD1 A, Wavelength=254 nm

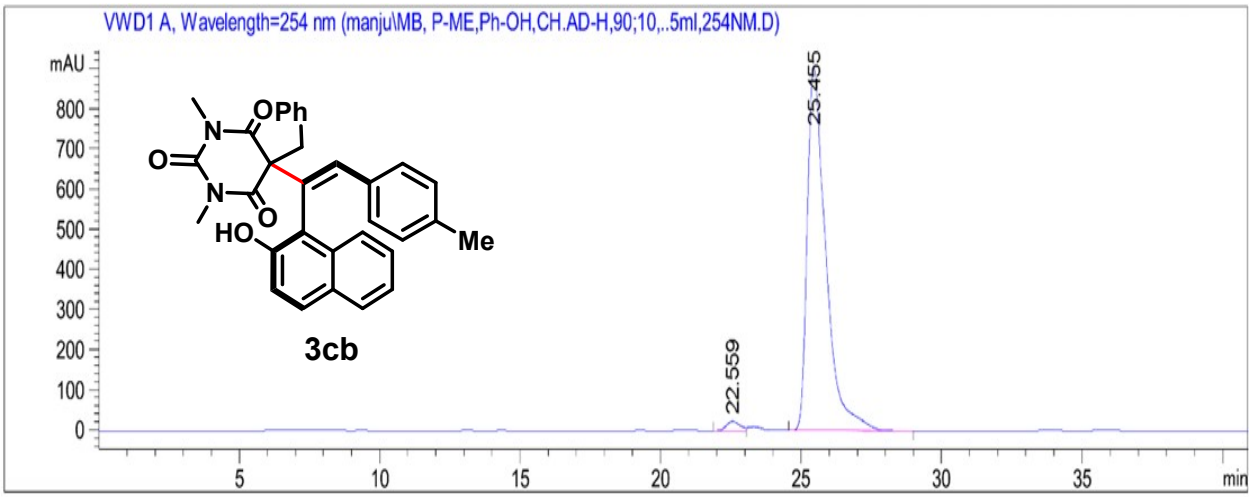
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	34.187	BB	0.9345	880.42175	14.13795	1.5507
2	41.405	BB	1.3511	5.58947e4	621.55792	98.4493

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

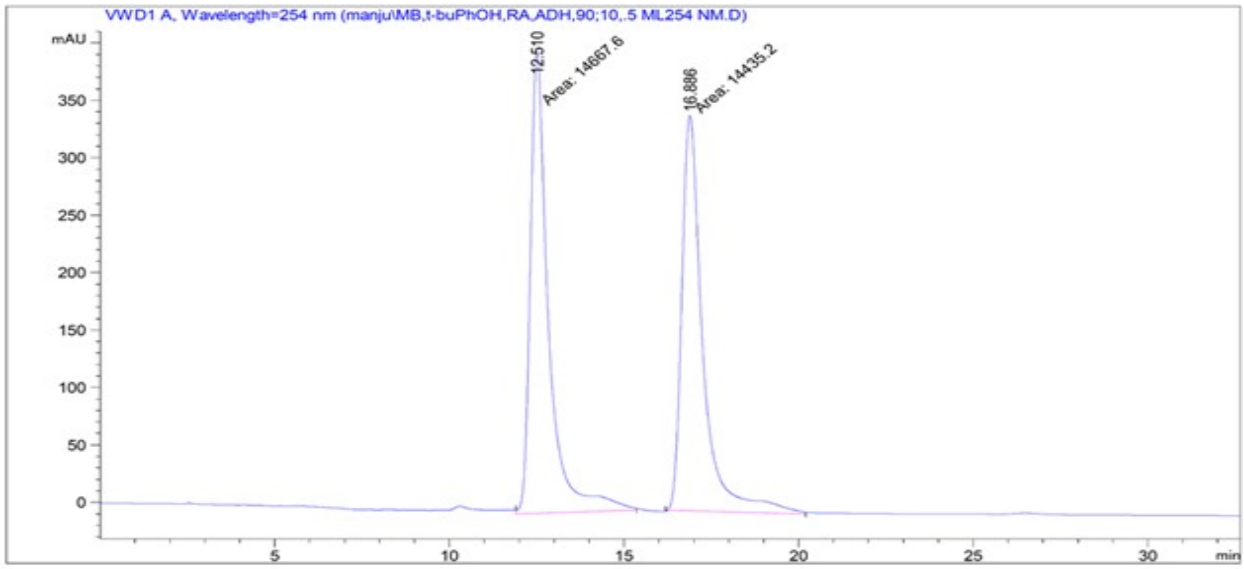
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.987	BB	0.5786	9660.70898	255.82605	50.1182
2	26.189	BB	0.6308	9615.13184	223.97409	49.8818



Signal 1: VWD1 A, Wavelength=254 nm

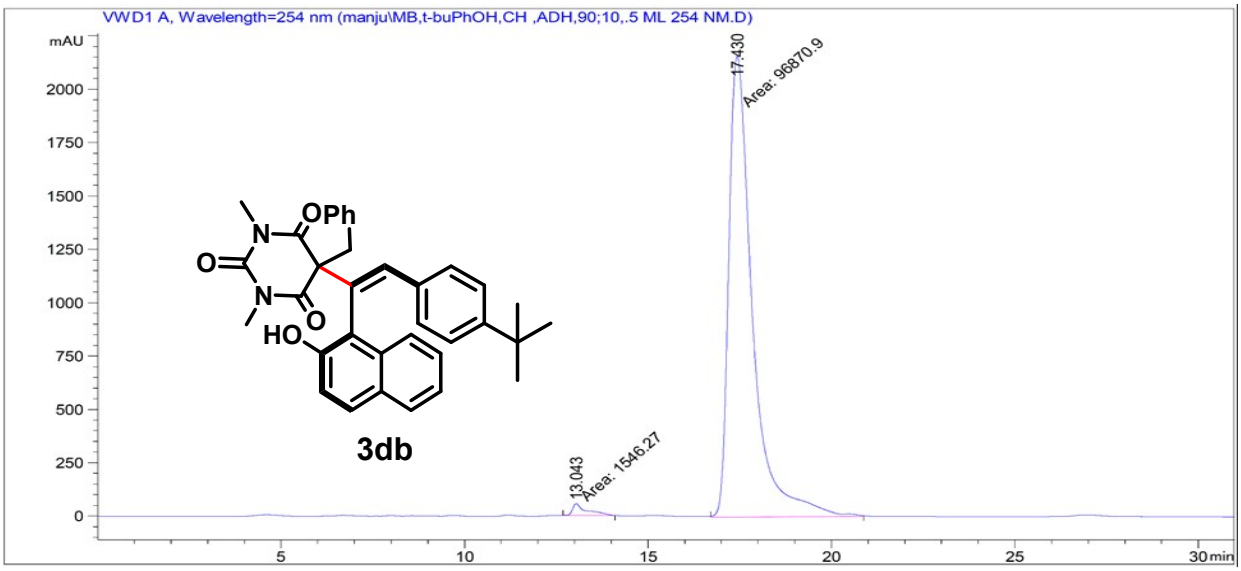
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.559	BV	0.4975	754.99756	23.15171	1.7870
2	25.455	BB	0.6502	4.14941e4	902.88934	98.2130

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



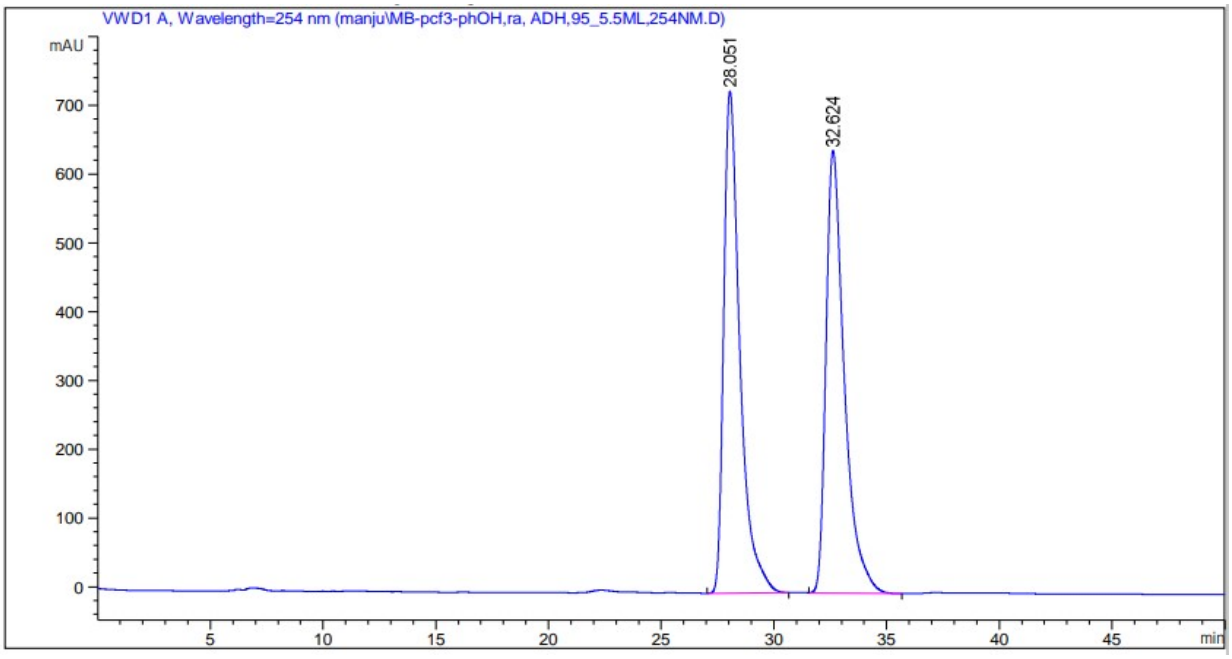
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.510	MM	0.6115	1.46676e4	399.77405	50.3994
2	16.886	MM	0.6992	1.44352e4	344.11142	49.6006



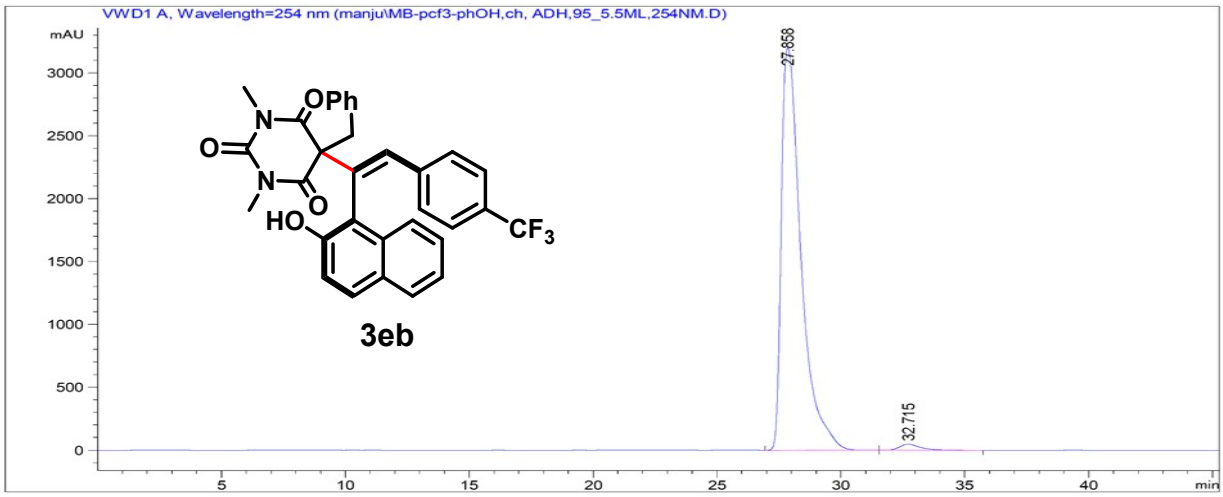
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.043	MM	0.4579	1546.27429	56.27608	1.5711
2	17.430	MM	0.7478	9.68709e4	2159.09766	98.4289



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.051	BB	0.7554	3.64176e4	729.53522	50.0908
2	32.624	BB	0.8207	3.62856e4	642.68915	49.9092



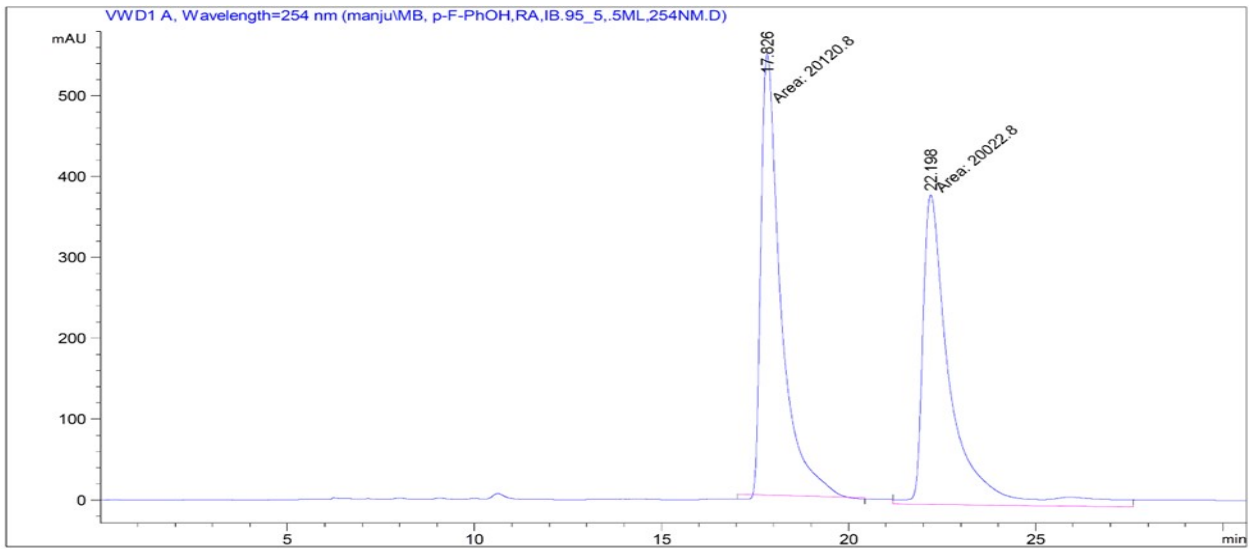
3eb

Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	27.858	BB	0.8352	1.76403e5	3199.68701	98.4916
2	32.715	BB	0.8513	2701.68628	46.74370	1.5084

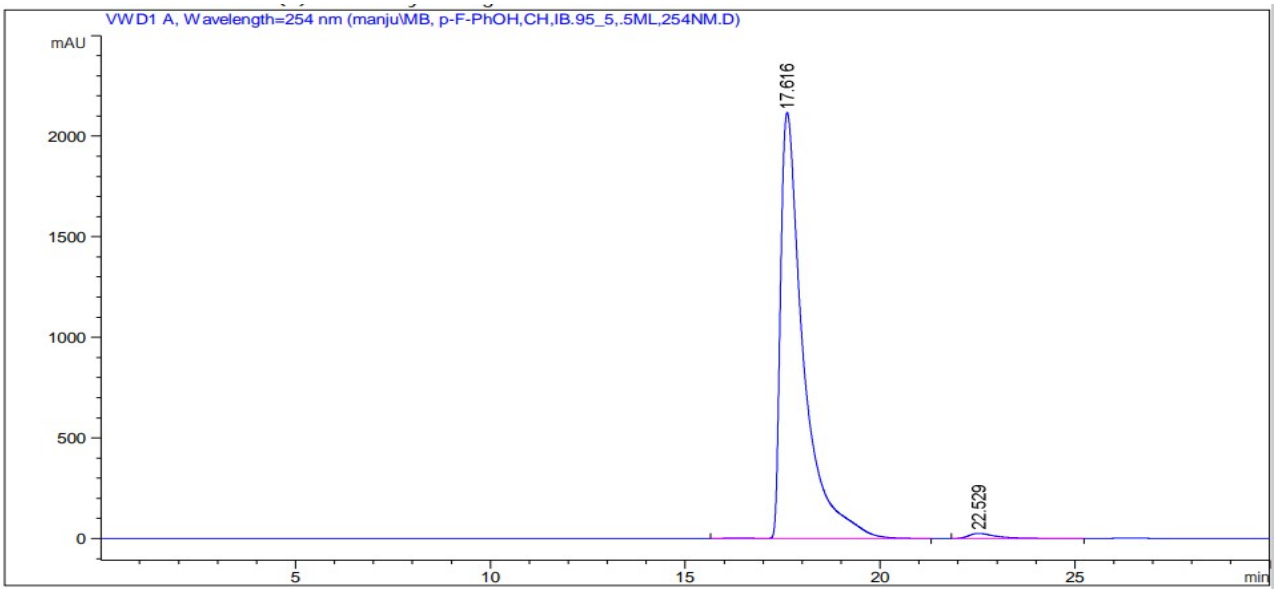
HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak IB, hexane:isopropanol = 95:5 0.5 mL/min, λ = 254 nm



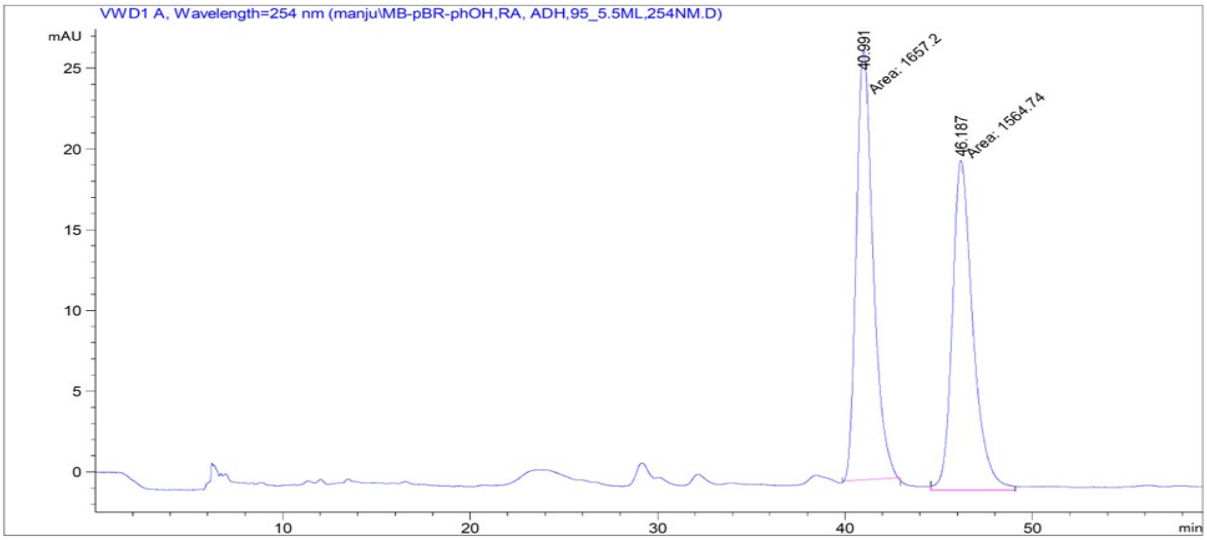
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.826	MM	0.6139	2.01208e4	546.25409	50.1221
2	22.198	MM	0.8718	2.00228e4	382.78528	49.8779



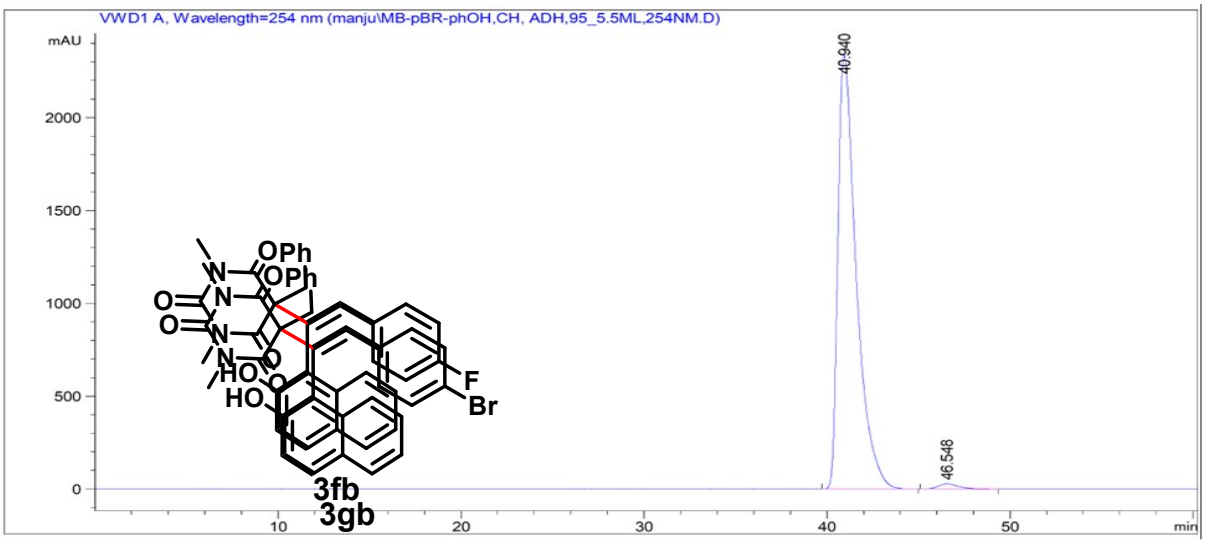
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.616	VB R	0.5957	8.61559e4	2118.33521	98.5755
2	22.529	BB	0.7062	1245.01978	25.43051	1.4245



Signal 1: VWD1 A, Wavelength=254 nm

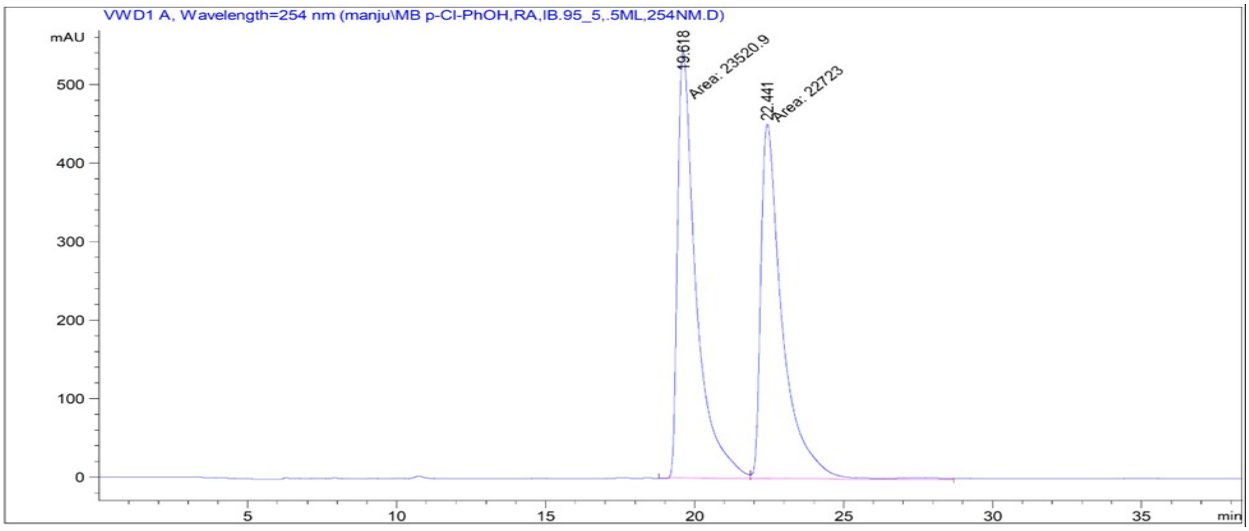
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	40.991	MM	1.0396	1657.20374	26.56803	51.4349
2	46.187	MM	1.2767	1564.74036	20.42736	48.5651



Signal 1: VWD1 A, Wavelength=254 nm

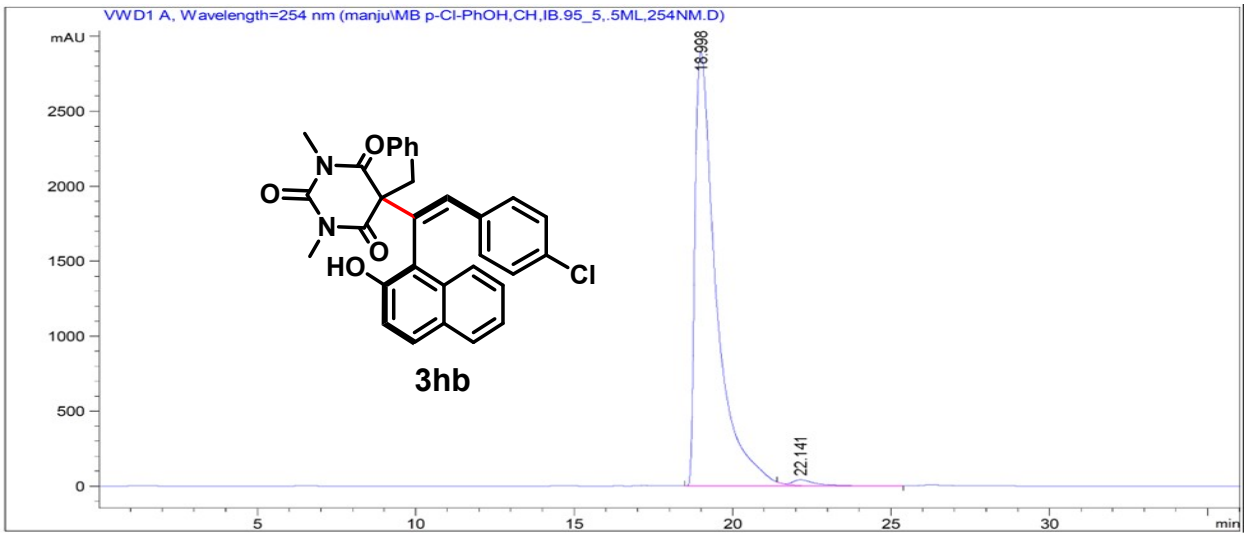
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	40.940	BB	1.0069	1.62610e5	2336.66650	98.7612
2	46.548	BB	1.0630	2039.67566	27.22731	1.2388

HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.618	MF	0.7214	2.35209e4	543.39606	50.8626
2	22.441	FM	0.8390	2.27230e4	451.41779	49.1374

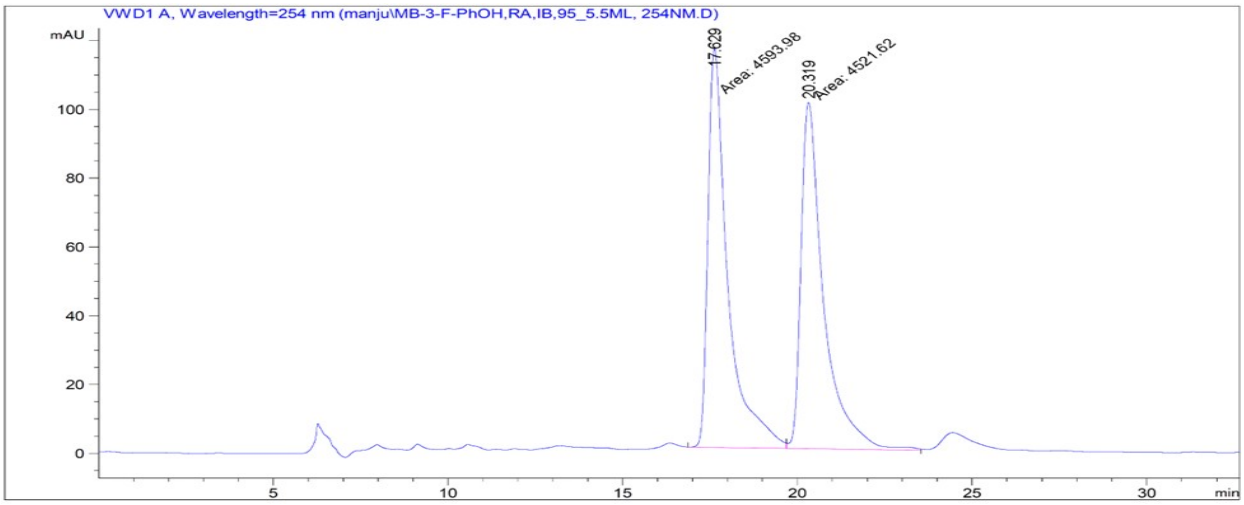


Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.998	BV R	0.6865	1.35508e5	2892.73975	98.5220
2	22.141	VB E	0.7497	2032.82007	38.77396	1.4780

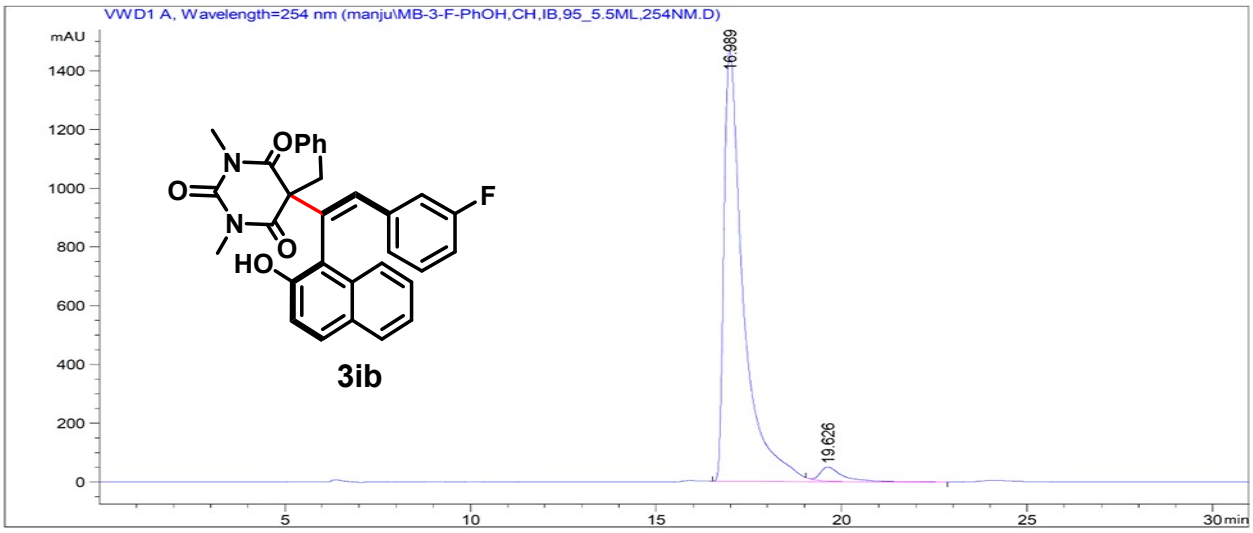
HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm



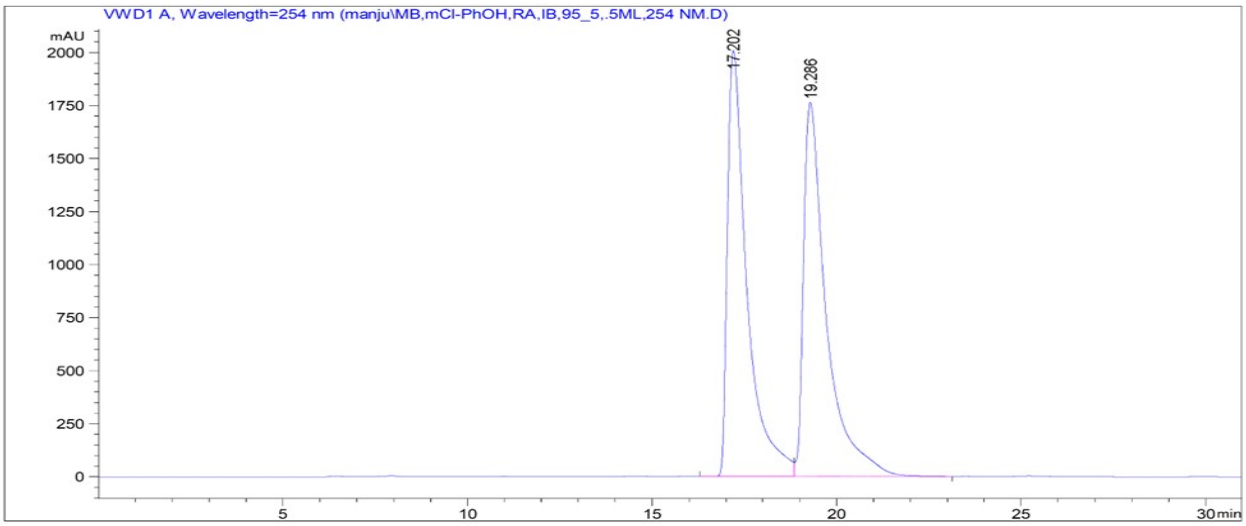
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.629	MF	0.6600	4593.98242	116.00980	50.3969
2	20.319	FM	0.7483	4521.62451	100.70454	49.6031



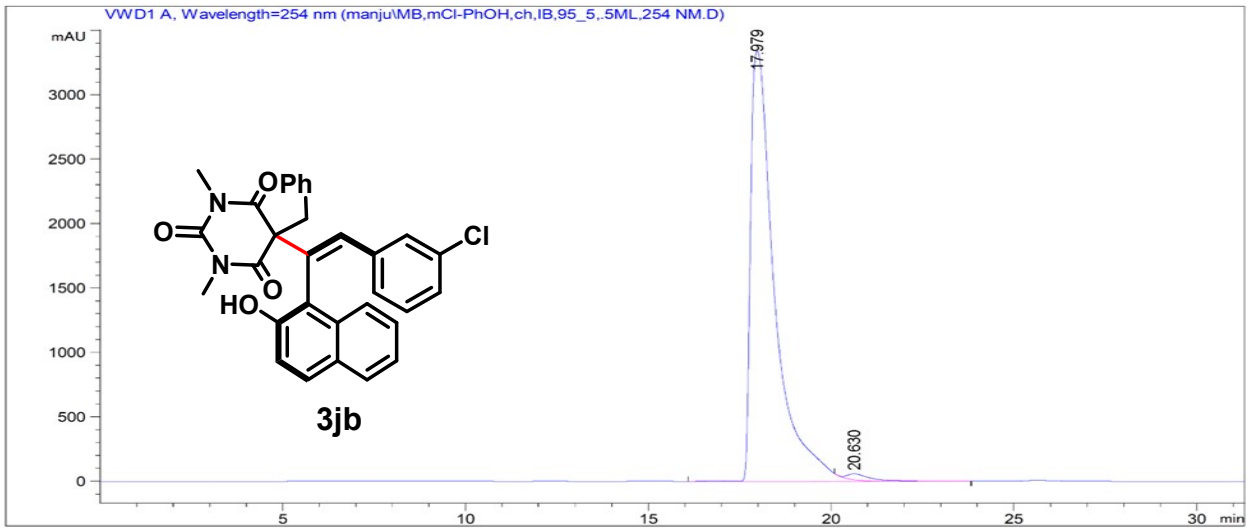
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.989	BV R	0.5335	5.34365e4	1465.14746	96.3508
2	19.626	VB E	0.6055	2023.88684	48.03837	3.6492



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.202	VV R	0.5410	7.38358e4	2007.75000	49.4367
2	19.286	VB	0.6267	7.55183e4	1763.06384	50.5633

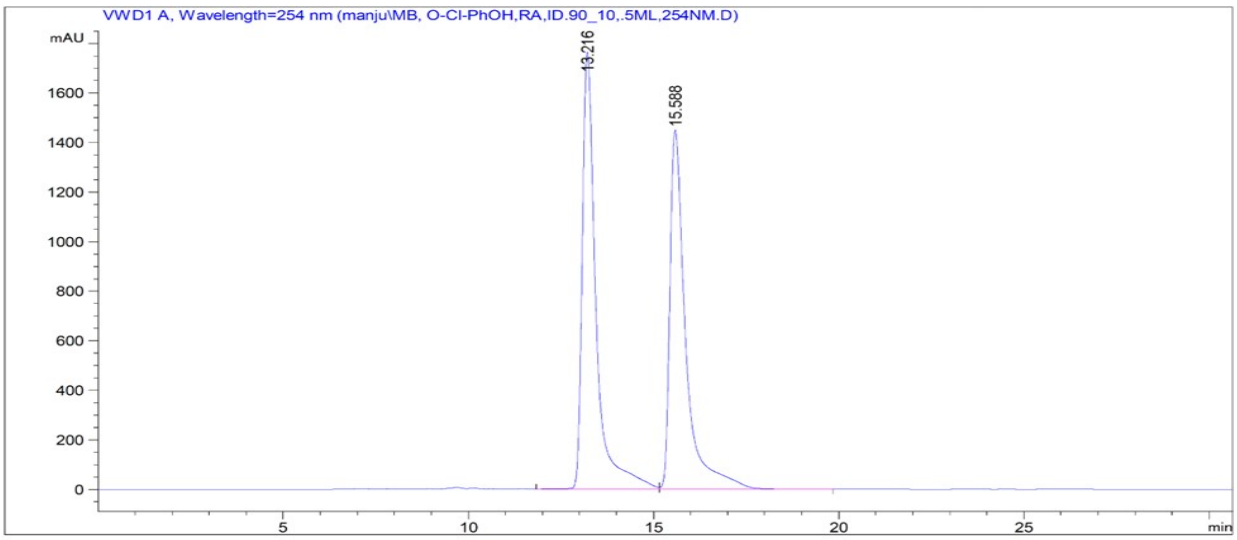


Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.979	VV R	0.6753	1.52764e5	3343.08521	98.5003
2	20.630	VB E	0.7094	2325.93921	46.43321	1.4997

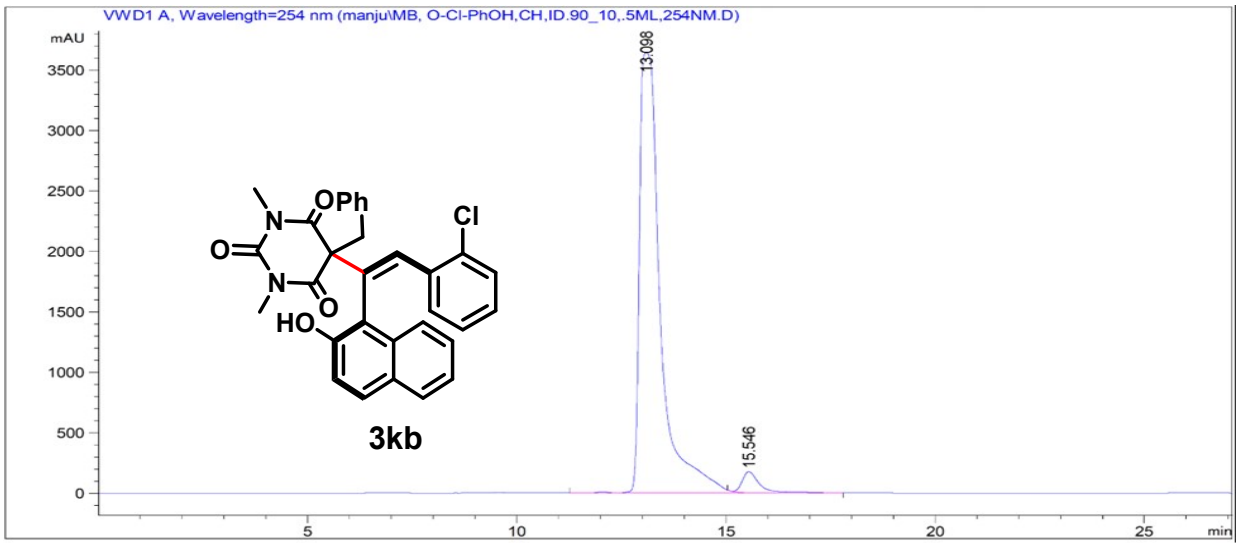
HPLC, Chiralpak IB, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal 1: VWD1 A, Wavelength=254 nm

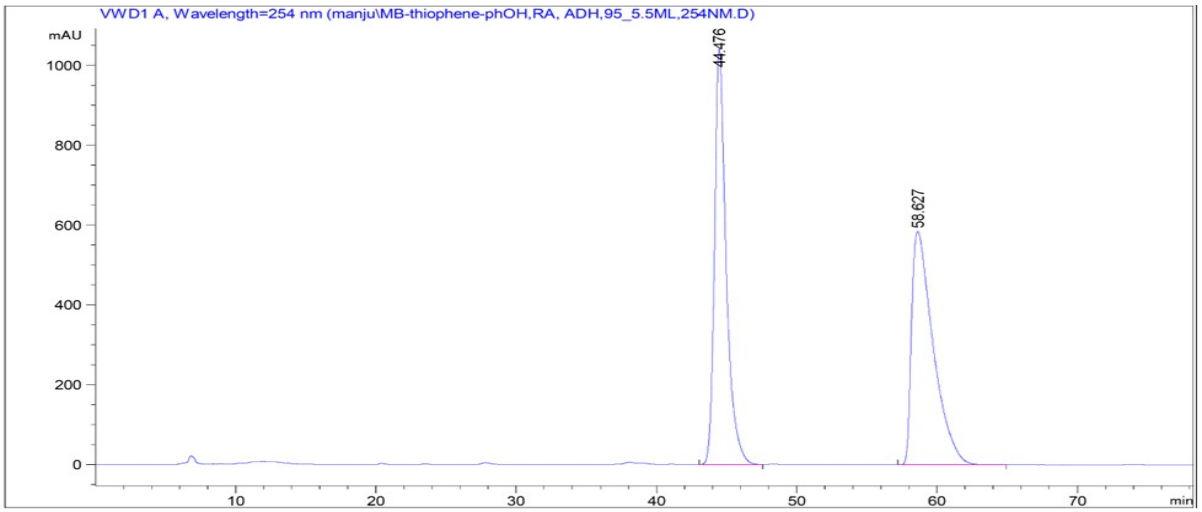
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.216	VV R	0.3831	4.56868e4	1763.05518	51.4699
2	15.588	VB	0.4378	4.30774e4	1449.08691	48.5301



Signal 1: VWD1 A, Wavelength=254 nm

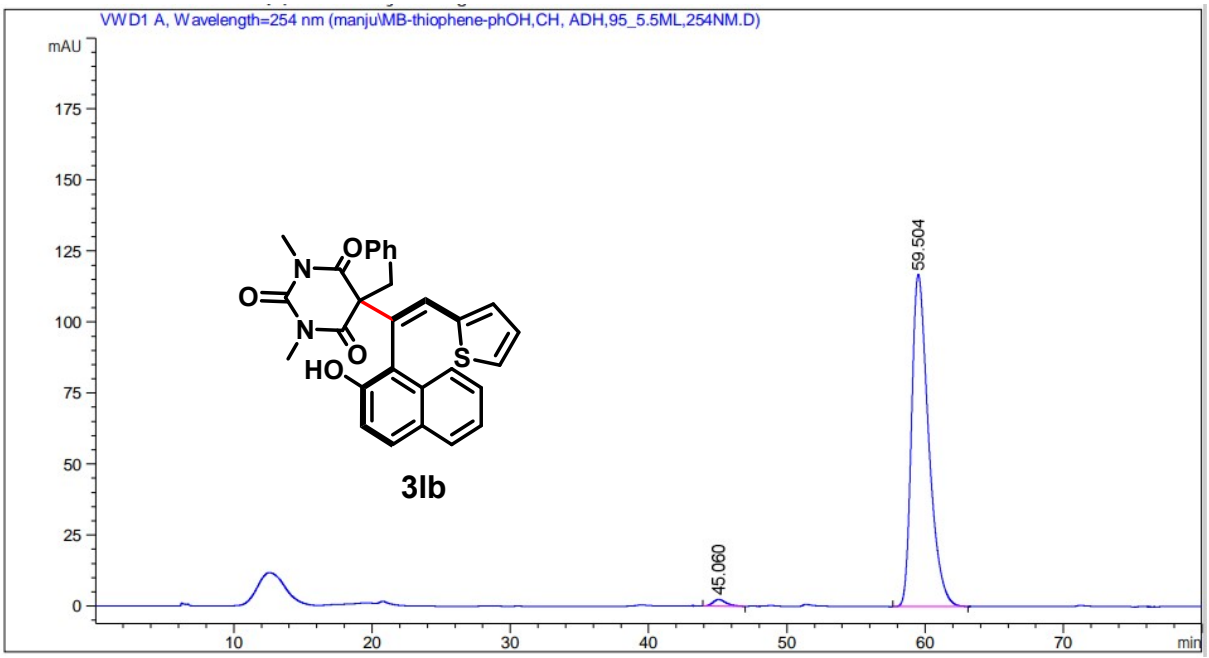
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.098	VV R	0.5205	1.26475e5	3641.98193	96.0599
2	15.546	VB E	0.4388	5187.63428	174.49774	3.9401

HPLC, Chiralpak AD-H, hexane:isopropanol = 95:5, 0.5 mL/min, λ = 254 nm



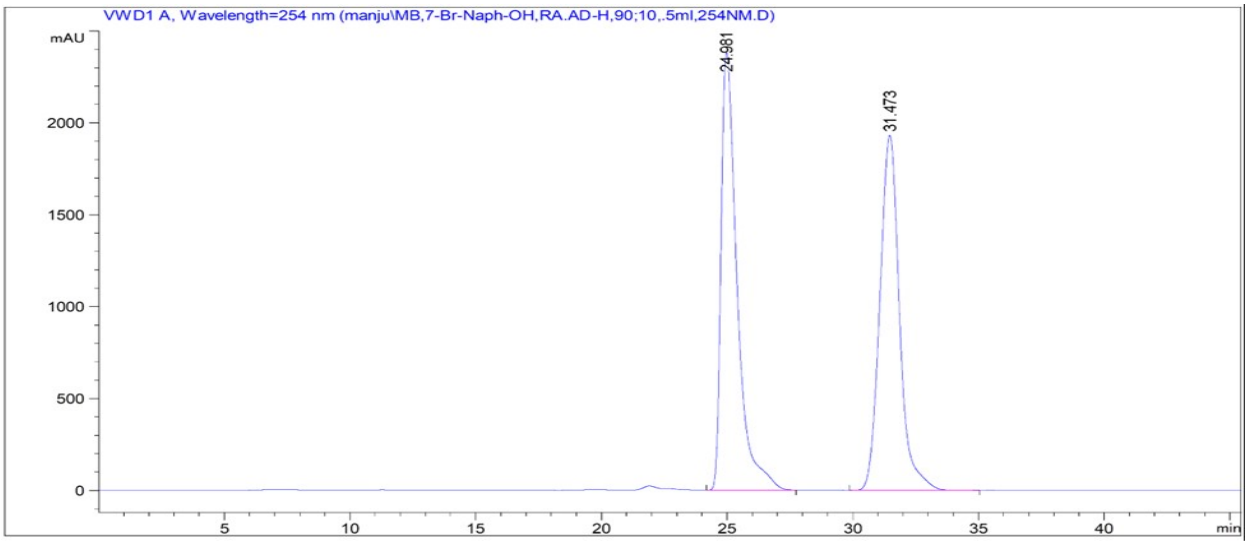
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	44.476	BB	0.8216	6.00834e4	1040.66382	49.1099
2	58.627	BB	1.4691	6.22615e4	584.31946	50.8901



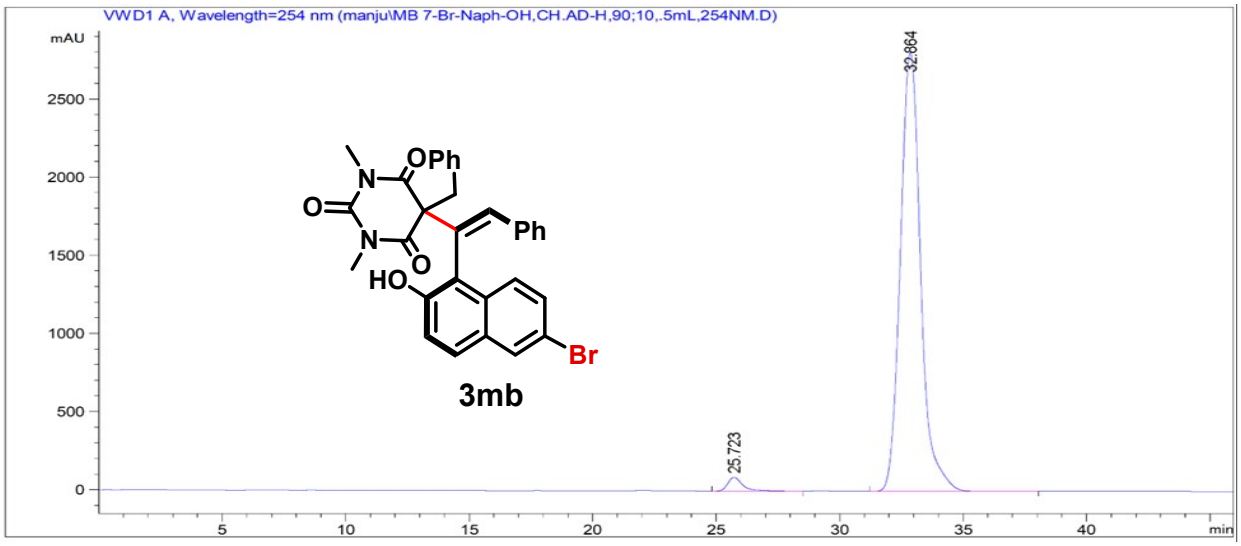
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	45.060	BB	0.8620	158.37387	2.35684	1.5635
2	59.504	BB	1.2392	9971.36328	116.89198	98.4365



Signal 1: VWD1 A, Wavelength=254 nm

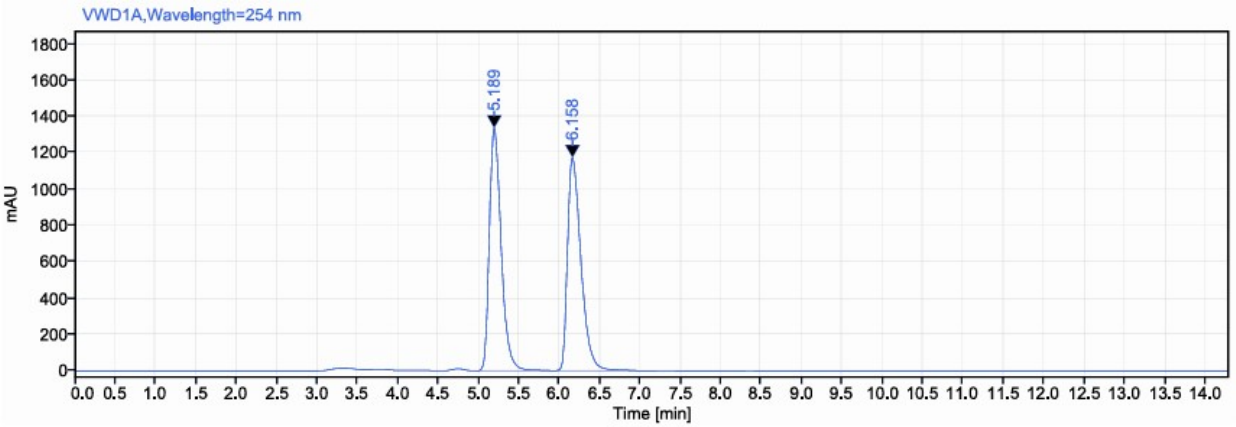
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.981	BB	0.6589	1.05302e5	2381.36353	50.1140
2	31.473	BB	0.8241	1.04823e5	1931.72144	49.8860



Signal 1: VWD1 A, Wavelength=254 nm

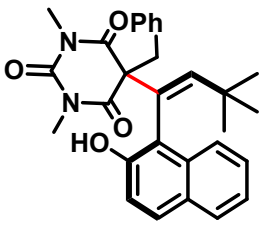
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.723	BB	0.6371	3742.03979	88.17726	2.2848
2	32.864	BB	0.8825	1.60040e5	2805.62524	97.7152

HPLC, Chiralpak AD-H, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm

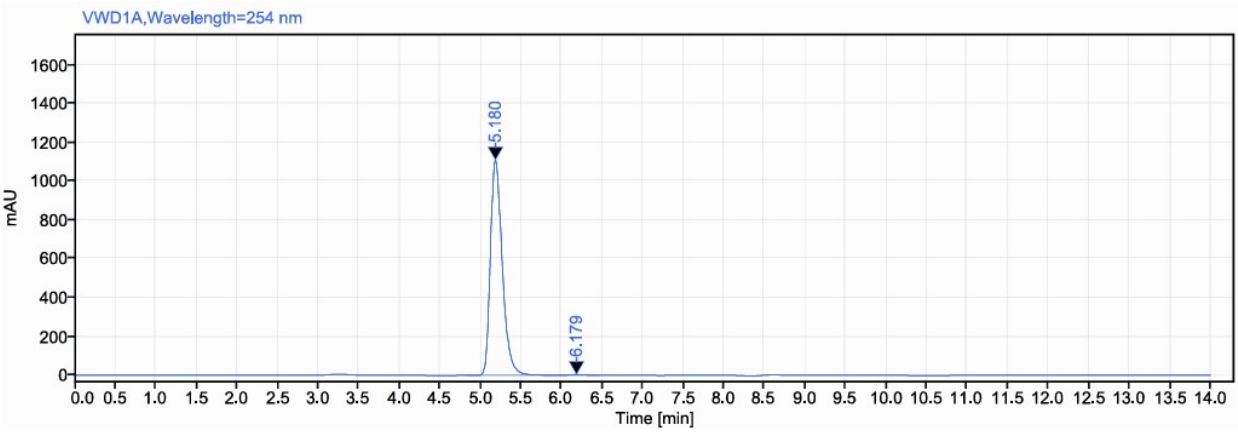


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
5.189	0.97	13584.31	1336.51	49.95
6.158	1.26	13611.88	1173.72	50.05
	Sum	27196.19		



3nb

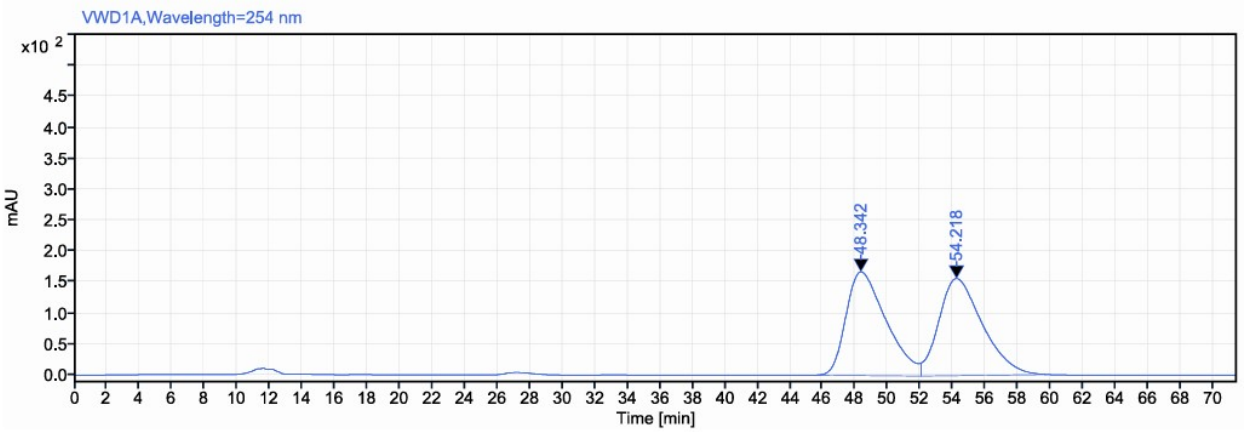


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
5.180	1.01	11300.52	1110.29	99.66
6.179	0.63	39.04	4.03	0.34
	Sum	11339.56		

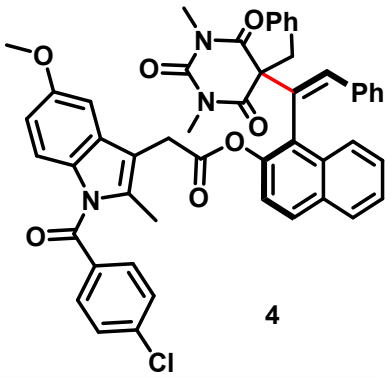
HPLC, Chiralpak ID, hexane:isopropanol = 80:20, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak AD-H, hexane:isopropanol = 50:50, 0.3 mL/min, λ = 254 nm

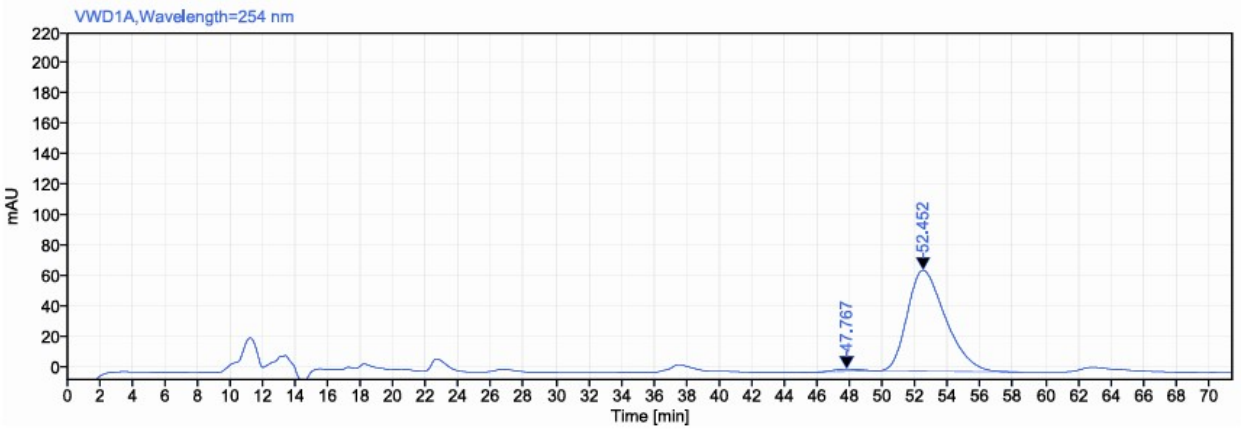


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
48.342	6.42	28731.65	167.46	49.62
54.218	7.64	29171.69	156.82	50.38
	Sum	57903.34		

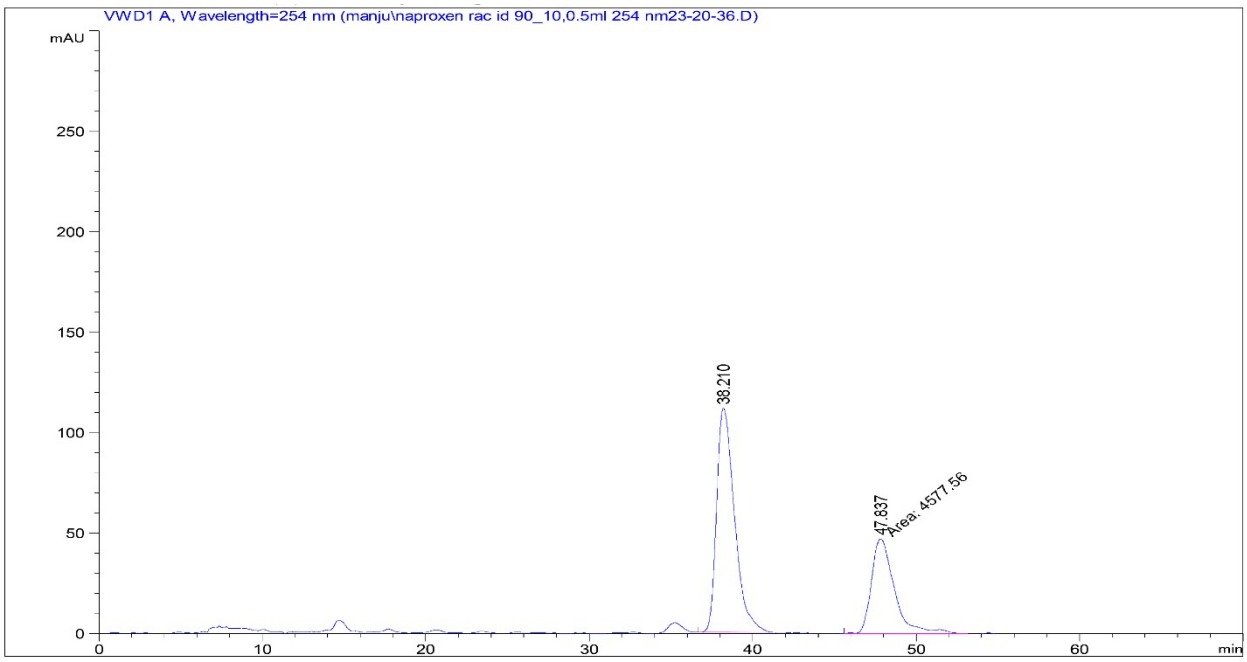


4

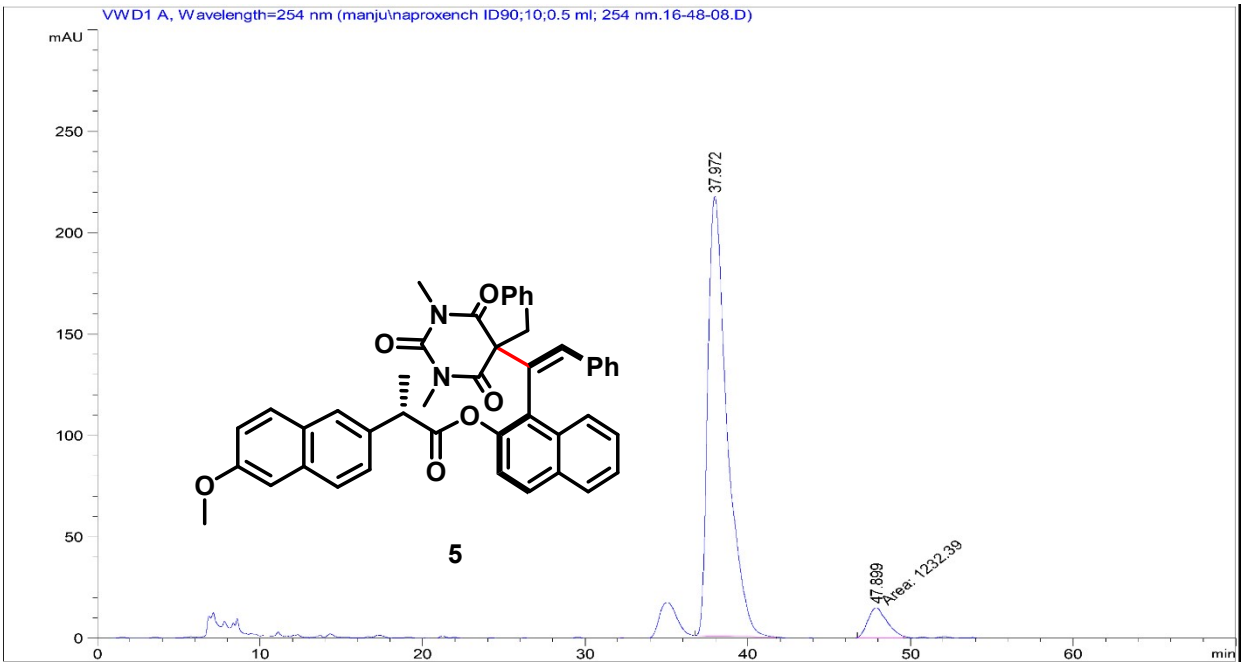


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
47.767	4.63	164.62	1.55	1.50
52.452	8.96	10831.46	66.53	98.50
	Sum	10996.09		



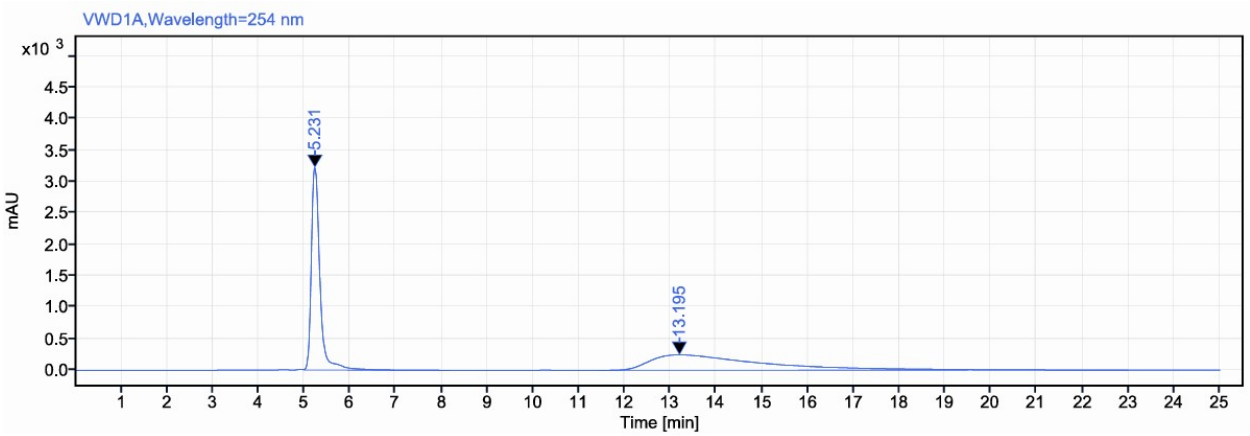
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	38.210	BB	1.0622	8509.58789	111.64391	65.0225
2	47.837	MM	1.6201	4577.55811	47.09120	34.9775



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	37.972	BB	1.0554	1.77541e4	217.02057	93.5091
2	47.899	MM	1.3710	1232.38708	14.98112	6.4909

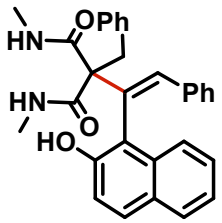
HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm

HPLC, Chiralpak ID, hexane:isopropanol = 35:65, 1 mL/min, λ = 254 nm

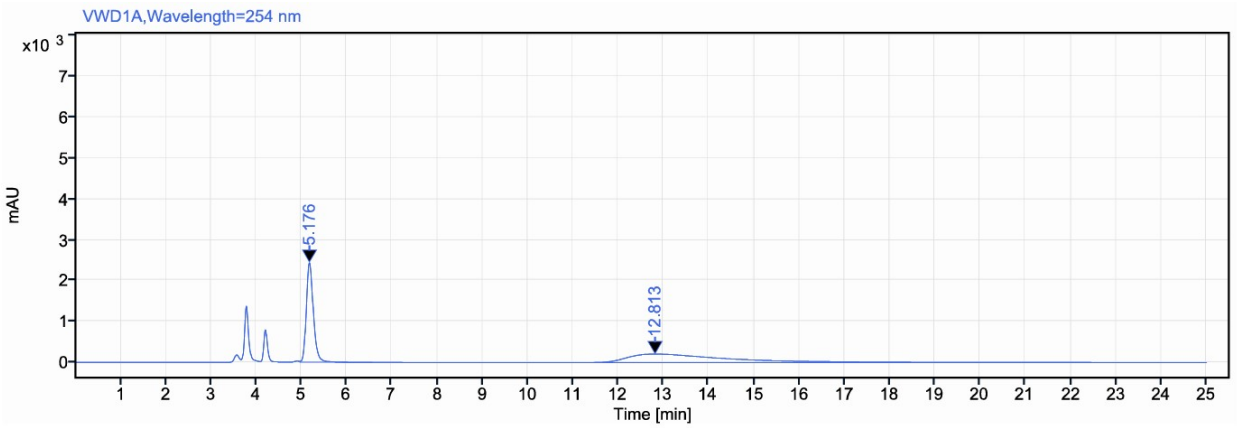


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
5.231	3.17	42394.65	3222.10	50.43
13.195	11.53	41673.65	245.43	49.57
	Sum	84068.30		



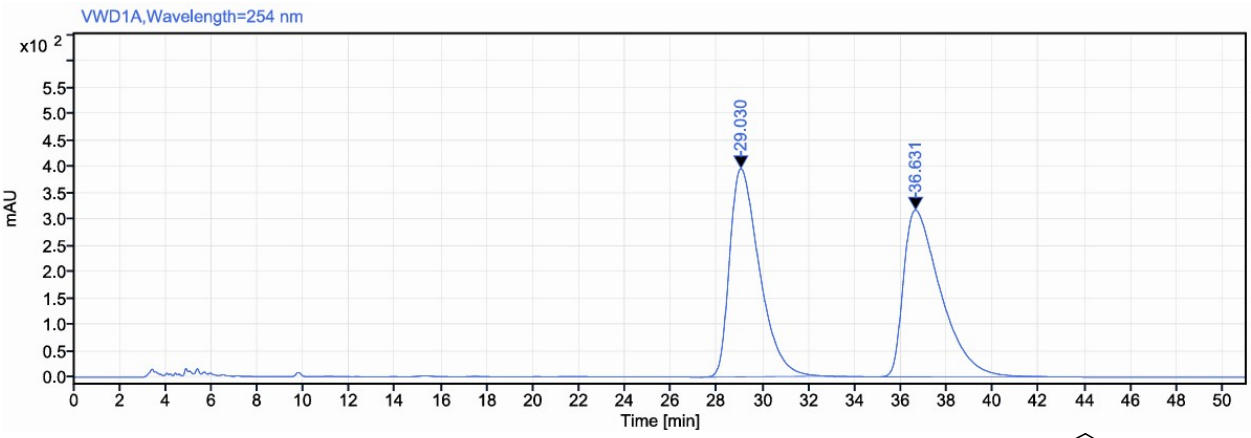
7



Signal: VWD1A,Wavelength=254 nm

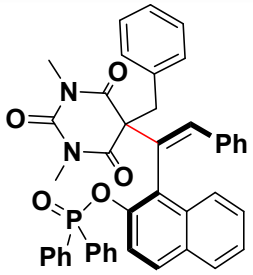
RT [min]	Width [min]	Area	Height	Area%
5.176	1.59	26722.73	2442.94	44.94
12.813	11.79	32734.43	210.46	55.06
	Sum	59457.16		

HPLC, Chiralpak ID, hexane:isopropanol = 60:40, 1 mL/min, λ = 254 nm

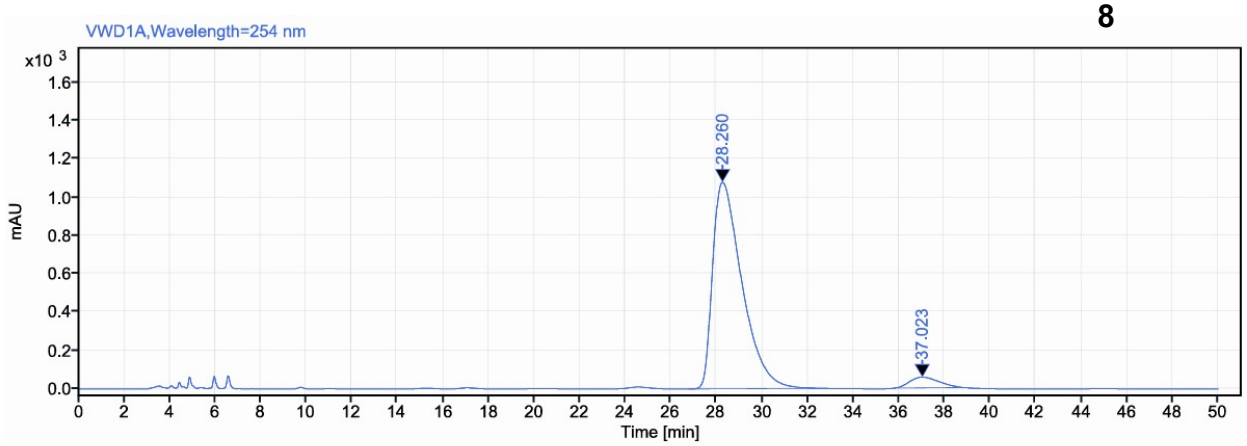


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
29.030	5.80	35603.43	395.81	50.04
36.631	7.69	35540.02	316.87	49.96
	Sum	71143.46		

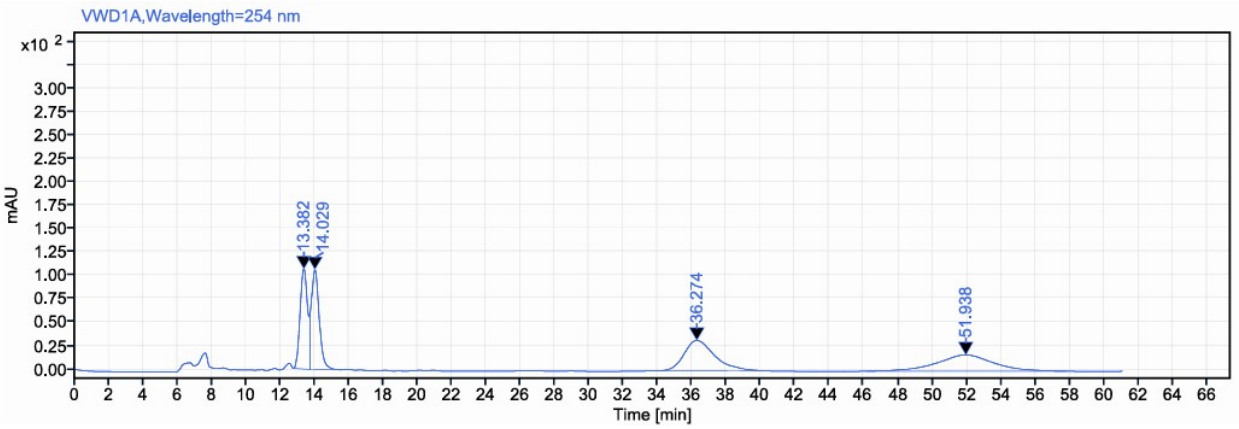


8



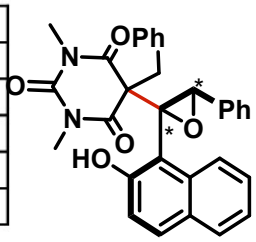
Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
28.260	5.58	96086.63	1077.42	95.03
37.023	3.05	5029.98	56.08	4.97
	Sum	101116.61		



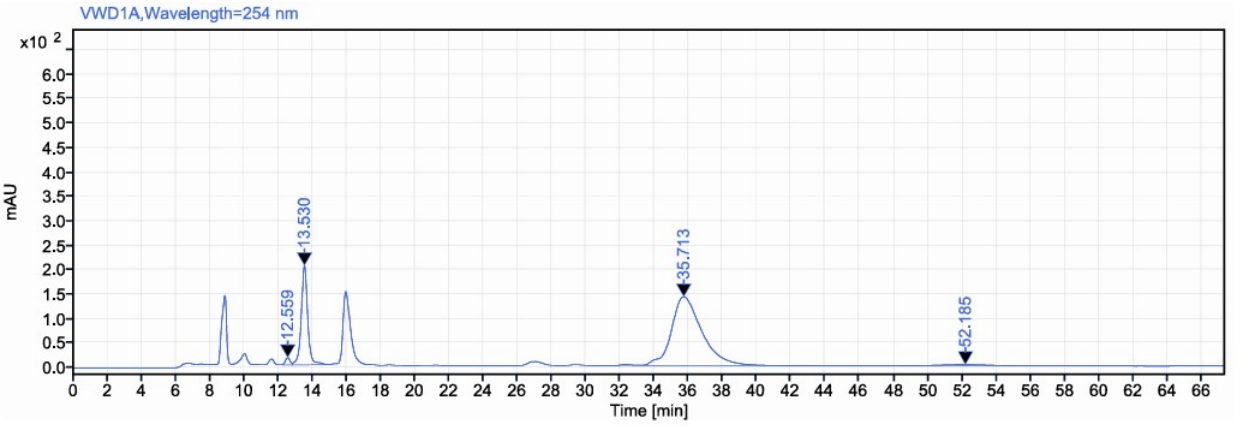
Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
13.382	0.97	3324.57	106.85	22.58
14.029	1.61	3349.59	106.49	22.75
36.274	5.97	4017.11	32.41	27.29
51.938	11.59	4029.31	17.44	27.37
	Sum	14720.59		



9

inseparable mixture of diastereomers

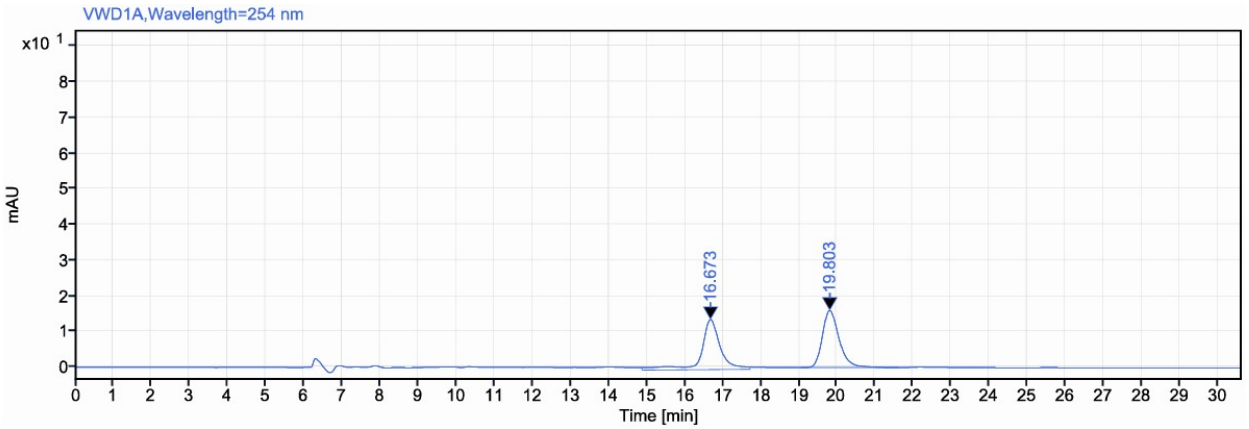


Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
12.559	0.63	276.46	14.84	1.16
13.530	2.05	5290.84	203.19	22.22
35.713	11.79	17693.92	140.97	74.30
52.185	6.96	554.36	2.62	2.33
	Sum	23815.58		

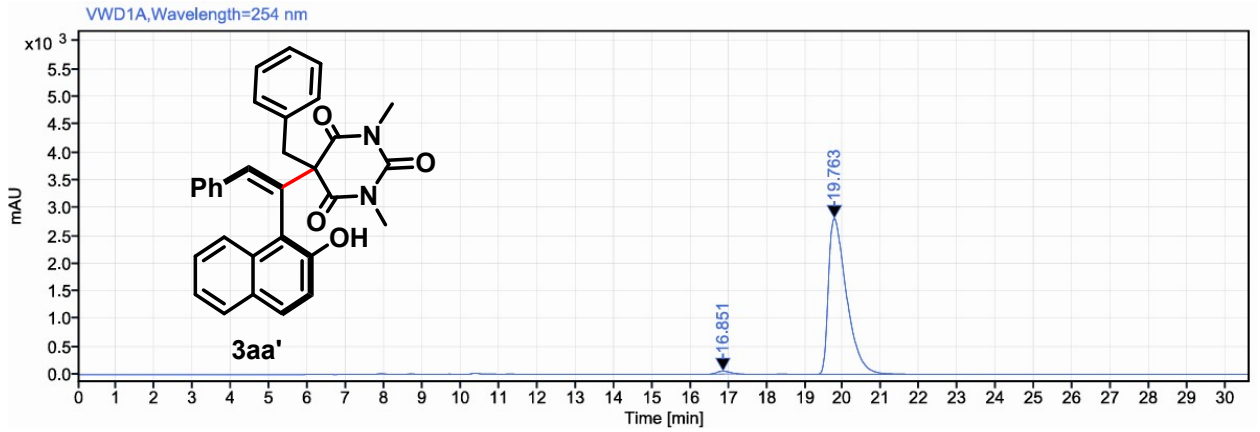
HPLC, Chiralpak ID, hexane:isopropanol = 60:40, 1 mL/min, λ = 254 nm

HPLC, Chiralpak ID, hexane:isopropanol = 90:10, 0.5 mL/min, λ = 254 nm



Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
16.673	2.84	492.70	14.06	50.09
19.803	2.55	490.85	16.06	49.91
	Sum	983.55		

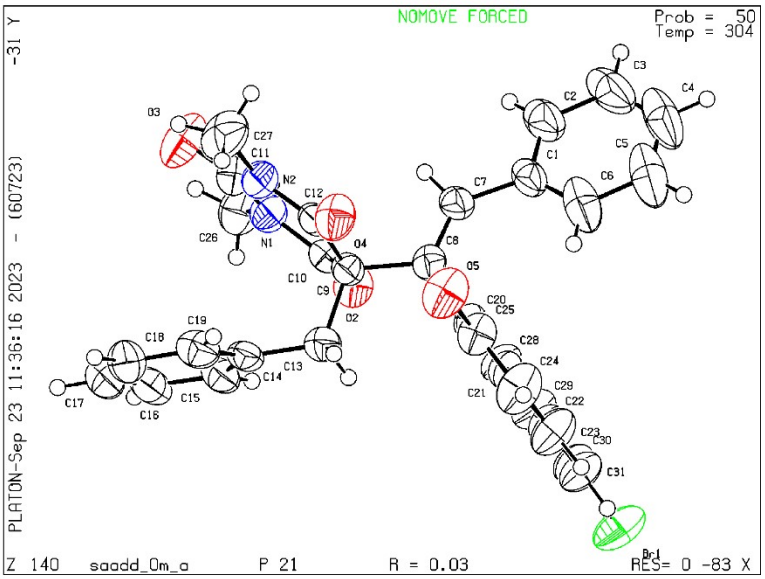


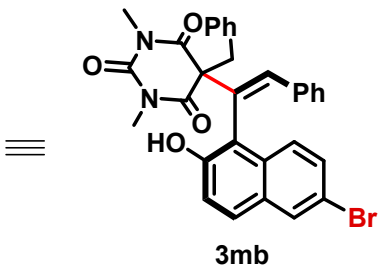
Signal: VWD1A,Wavelength=254 nm

RT [min]	Width [min]	Area	Height	Area%
16.851	0.81	1233.29	54.03	1.32
19.763	2.98	92528.63	2809.89	98.68
	Sum	93761.91		

9. Crystal data and structure refinement for 3mb (CCDC 2296994) and 8 (CCDC 2499277)

The single crystals of compound **3mb** suitable for X-ray crystallography were grown by slow evaporation method using Ethyl acetate/Hexane solution.





3mb

Bond precision:	C-C = 0.0054 A		Wavelength=0.71073
Cell:	a=9.214(3)	b=14.220(5)	c=11.153(4)
	alpha=90	beta=111.181(11)	gamma=90
Temperature: 304 K			

	Calculated	Reported
Volume	1362.6(8)	1362.6(8)
Space group	P 21	P 21
Hall group	P 2yb	P 2yb
Moiety formula	C31 H24 Br N2 O4	C31 H24 Br N2 O4
Sum formula	C31 H24 Br N2 O4	C31 H24 Br N2 O4
Mr	568.42	568.42
Dx,g cm-3	1.385	1.385
Z	2	2
Mu (mm-1)	1.547	1.547
F000	582.0	582.0

F000'	581.66	
h,k,lmax	12,18,14	12,18,14
Nref	6789[3529]	6789
Tmin,Tmax	0.723,0.781	
Tmin'	0.673	

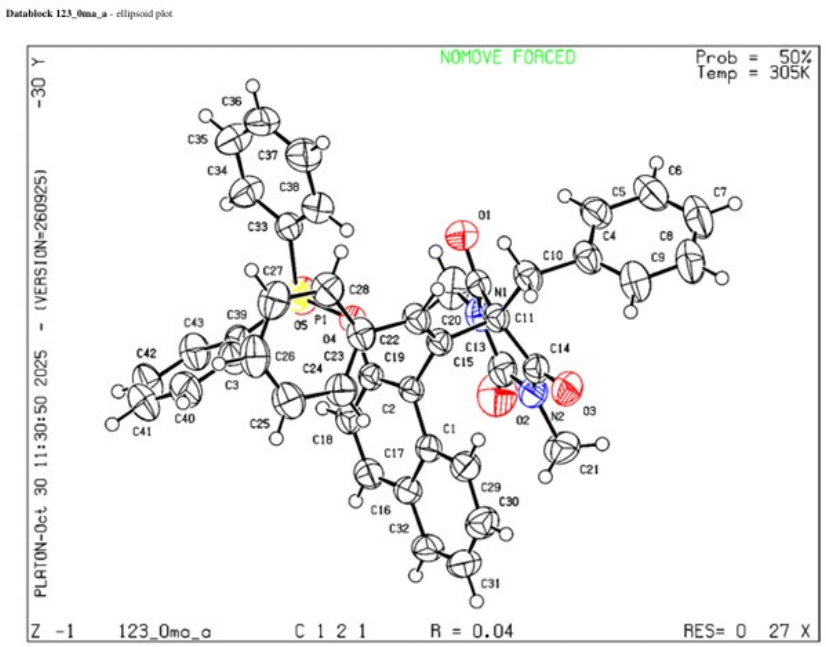
Correction method= Not given

Data completeness= 1.92/1.00 Theta(max)= 28.318

R(reflections)= 0.0321(3836) wR2(reflections)= 0.0633(6789)

S = 0.795 Npar= 345

The single crystals of compound **8** suitable for X-ray crystallography were grown by slow evaporation method using Heptane/Chloroform solution.



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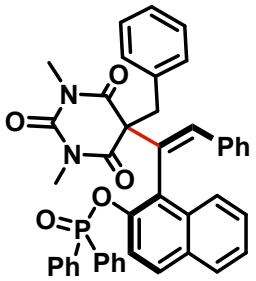

8

Bond precision: C-C = 0.0044 A Wavelength=0.71073

Cell: a=19.0074(6) b=11.0694(6) c=18.0225(8)

alpha=90 beta=110.883(2) gamma=90

Temperature: 305 K

	Calculated	Reported
Volume	3542.9(3)	3542.9(3)
Space group	C 2	C 1 2 1
Hall group	C 2y	C 2y
Moiety formula	C43 H35 N2 O5 P [+ solvent]	0.087(C43 H35 N2 O5 P), 0.087[C4O2H8]
Sum formula	C43 H35 N2 O5 P [+ solvent]	C4.09 H3.74 N0.17 O0.61 P0.09
Mr	690.70	67.69
Dx,g cm-3	1.295	1.459

Z	4	46
Mu (mm-1)	0.127	0.140
F000	1448.0	1639.0
F000'	1449.04	
h,k,lmax	25,14,24	25,14,23
Nref	8788[4617]	8671
Tmin,Tmax		
Tmin'		

Correction method= Not given

Data completeness= 1.88/0.99 Theta(max)= 28.283

R(reflections)= 0.0378(7421) wR2(reflections)= 0.1043(8671)

S = 1.026 Npar= 462

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