

Electronic Supporting Information

Asymmetric Ring-Opening of Cyclopropyl Ketones with β -Naphthols Catalyzed by a Chiral N,N' -Dioxide-Scandium(III) Complex

Yong Xia, Fenzhen Chang, Lili Lin, Yali Xu, Xiaohua Liu* and Xiaoming Feng*

CONTENTS:

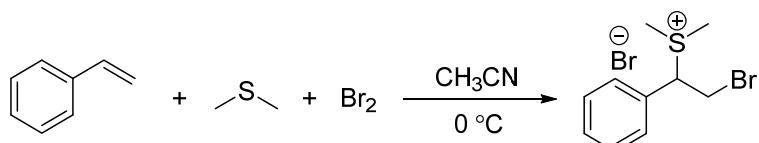
(A) General information.....	2
(B) Typical procedure for the preparation of cyclopropyl ketones and 2-naphthols	2
(1) Synthesis of substituted bromosulfonium bromide.....	2
(2) Synthesis of substituted cyclopropanes	2
(3) Synthesis of 2-vinyl substituted cyclopropane	2
(4) Synthesis of 2-naphthols.....	3
(C) Typical procedure for catalytic asymmetric ring-opening of cyclopropyl ketone with β -naphthols	5
(D) Optimization of the reaction conditions	5
(E) Scaled-up version of the asymmetric reaction	7
(F) Typical procedure for the transformations of the product to 4 and 5.....	7
(G) X-ray structure of 3ea.....	8
(H) Spectral characterization data for 2-naphthol substrates	14
(I) Spectral characterization data for products.....	15
(J) Copies of NMR spectra for product.....	37

(A) General information

Reactions were carried out using commercial available reagents in oven-dried apparatus. $\text{CHCl}_2\text{CHCl}_2$ was dried over powdered CaH_2 and distilled under nitrogen. ^1H NMR spectra were recorded at 400 MHz. The chemical shifts were recorded in ppm relative to tetramethylsilane and with the solvent resonance as the internal standard. Data were reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet), coupling constants (Hz), integration. ^{13}C NMR data were collected at 101 MHz with complete proton decoupling. Chemical shifts were reported in ppm from the tetramethylsilane with the solvent resonance as internal standard. Metal catalysts obtained from commercial sources were used without further purification. Enantiomeric excesses were determined by chiral HPLC analysis on Daicel Chiralcel IA/IB/IC/ID in comparison with the authentic racemates. Optical rotations were reported as follows: $[\alpha]_D^T = (c: \text{g}/100 \text{ mL, in solvent, D:} 589 \text{ nm})$. HRMS was recorded on a commercial apparatus (ESI Source, TOF).

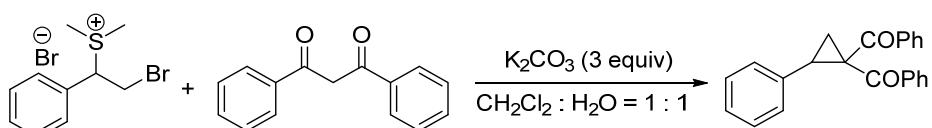
(B) Typical procedure for the preparation of cyclopropyl ketones and 2-naphthols

(1) Synthesis of substituted bromosulfonium bromide



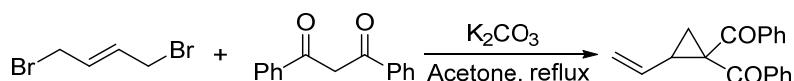
A solution of bromine (1.0 mL, 20 mmol) in CCl_4 (5.0 mL) was slowly added to a solution of dimethyl sulfide (5.4 mL, 70 mmol) in CH_3CN (30 mL) kept at 0°C to give a yellow precipitate. The corresponding styrene derivative (30 mmol) was then added. The solution was stirred for 30 min at the same temperature and brought to room temperature. Diethyl ether (30 mL) was added to it to give a white precipitate, which was filtered and washed with diethyl ether to give the corresponding bromosulfonium bromide in 62% yield (4.04 g, 12.4 mmol).

(2) Synthesis of substituted cyclopropanes



Potassium carbonate (4.14 g, 30 mmol) was added to a solution of the corresponding bromosulfonium bromide (3.26 g, 10 mmol) in $\text{CH}_2\text{Cl}_2:\text{H}_2\text{O}$ (1:1) mixture (40 mL). Then 1,3-diphenylpropane-1,3-dione (2.69 g, 12 mmol) was added to it and the reaction mixture was stirred for 8 h at room temperature. The CH_2Cl_2 layer was then separated and the aqueous layer was washed three times with dichloromethane (20 mL). The combined organic layer was dried over anhydrous sodium sulfate and then evaporated. The residue was then purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 20/1 to 8/1) to give the corresponding cyclopropane in 68% yield (2.22 g, 6.8 mmol).

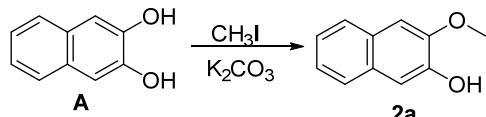
(3) Synthesis of 2-vinyl substituted cyclopropane



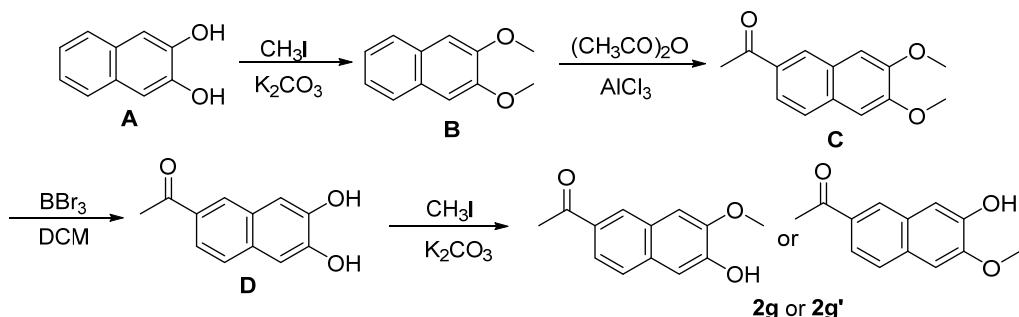
1,3-Diphenylpropane-1,3-dione (8.96 g, 40 mmol), (*E*)-1,4-dibromo-2-butene (8.560 g, 40 mmol) and K₂CO₃ (16.34 g, 118 mmol) in 50 mL of acetone were stirred vigorously and heated to reflux for 12 h. Then the mixture was cooled to room temperature and diluted with DCM (30 mL). Then it was filtered through a filter, washed with DCM (3×15 mL) three times and evaporated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to give the corresponding cyclopropane in 47% yield (5.18 g, 18.8 mmol).

(4) Synthesis of 2-naphthols

The synthesis of substituted 3-methoxy-2-naphthol according to the literatures^[1-3]:



A solution of 2,3-dihydroxynaphthalene (8.0 g, 50 mmol), K₂CO₃ (20.7 g, 150 mmol) in DMSO (50 mL) was stirred at 100 °C for 1 hour. After the mixture was cooled to room temperature, CH₃I (3.4 mL, 55 mmol) was added slowly and the mixture was stirred overnight. The reaction was quenched with water and extracted with EtOAc. The combined organic phase was washed with 10% NaOH, water, and brine, then dried over MgSO₄, and concentrated. The crude product was purified by column chromatography with ethyl acetate/petroleum ether (1/8) as an eluent to give 2,3-dimethoxynaphthalene **2a** as white solid (6.61 g, 76% yield). The procedure used for the preparation of **2b-2d** and **2e/2e'-2g/2g'** form the corresponding 2,3-dihydroxynaphthalene is similar with the above procedure.



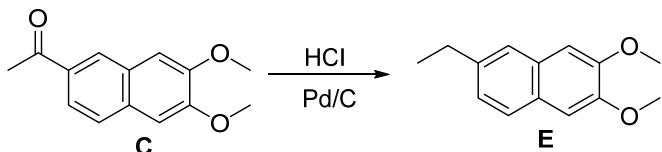
A solution of 2,3-dihydroxynaphthalene (16.00 g, 100 mmol), K₂CO₃ (41.40 g, 300 mmol) in DMSO (100 mL) was stirred at 100 °C for 1 hour. After the mixture was cooled to room temperature, CH₃I (13.7 mL, 220 mmol) was added slowly and the mixture was stirred overnight. The reaction was quenched with water and extracted with EtOAc. The combined organic phase was washed with 10% NaOH, water, and brine, then dried over MgSO₄, and concentrated. The crude product was purified by column chromatography with ethyl acetate/petroleum ether (1/8) as an eluent to give 2,3-dimethoxynaphthalene **B** as white solid (15.42 g, 82% yield).

AlCl₃ (39.00 g) was added in portions to a solution of **B** (14.00 g, 74.5 mmol), and acetic anhydride (11.5 mL) in nitrobenzene (80 mL) at 0 °C. The mixture was stirred for 1 hour and then was poured into ice water. The mixture was extracted with Et₂O and washed with water, aqueous NaHCO₃, and brine. After evaporation of the solvent under reduced pressure, the crude product was purified by column chromatography with ethyl acetate/petroleum ether (1/5) as an eluent to give Friedel-Crafts product **C** (7.10 g, 30.8 mmol, 41% yield).

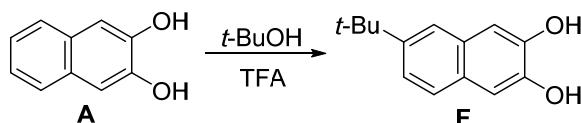
To a solution of **C** (7.10 g, 30.8 mmol) in CH₂Cl₂ (150 mL) was added dropwise 1 M BBr₃ in CH₂Cl₂ (123 mL) at -40 °C. Then the reaction was stirred for 10 min and then poured into ice

water. The resultant mixture was extracted with EtOAc, and washed with water and brine and dried over Na₂SO₄. After evaporation of the solvent under reduced pressure, the crude product was purified by column chromatography with ethyl acetate/petroleum ether (1/2) as an eluent to give **D** (1.25 g, 6.2 mmol, 20% yield).

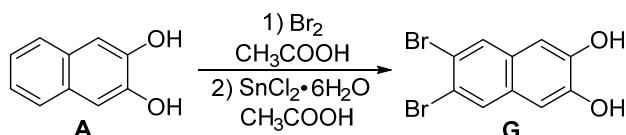
A solution of **D** (1.25 g, 6.2 mmol), K₂CO₃ (2.57 g, 18.6 mmol) in DMSO (8 mL) was stirred at 100 °C for 1 hour. After the mixture was cooled to room temperature, CH₃I (420 μL, 6.8 mmol) was added slowly and the mixture was stirred overnight. The reaction was quenched with water and extracted with EtOAc. The combined organic phase was washed with 10% NaOH, water, and brine, then dried over MgSO₄, and concentrated. The crude product was purified by column chromatography with ethyl acetate/petroleum ether (1/2) as an eluent to give the mixture of **2g/2g'** as white solid (0.80 g, 3.7 mmol, 60% yield).



Compound **C** (2.30 g, 10 mmol) in dioxane (40 mL) containing concentrated HCl (12 N, 5 mL) was hydrogenated over Pd/C (10%, 2.5 g) at room temperature for 2 h. The catalyst was removed by filtration and the filtrate was concentrated under reduced pressure. The residue was extracted with EtOAc and the extract was washed with water and brine, dried over MgSO₄. After evaporation of the solvent under reduced pressure, the crude product was purified by column chromatography with ethyl acetate/petroleum ether to give **E** in 99% yield (1.91 g).



tert-Butanol (2.0 mL, 34.6 mmol) was added dropwise to a suspension of **A** (5.60 g, 34.6 mmol) in trifluoroacetic acid (80 mL) and stirred at room temperature for 3 days. The mixture was poured into water (400 mL) and the precipitate was filtered, washed with water to give **F** as pale gray solid in 80% yield (5.98 g, 27.7 mmol).

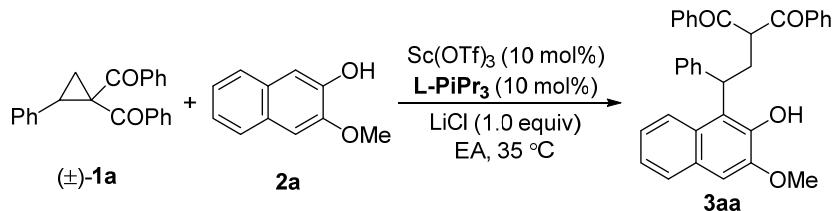


Br₂ (18.10 g, 0.11 mol) was slowly added to a solution of 2,3-dihydroxynaphthalene (4.50 g, 28 mmol) in acetate acid (45 mL). The stirred solution was heated to reflux for 45 min and then cooled to room temperature. The mixture was poured into ice water, and the precipitate was filtered and washed with water. The resultant solid was then dissolved in ether and was washed with water. The organic phase was dried over Na₂SO₄, and concentrated. The residue (8 g) was then dissolved in acetate acid (80 mL) and SnCl₂·6H₂O (16.70 g, 56 mmol) was added. The mixture was heated to reflux. Then concentrated HCl (24 mL) was added, and reflux for 40 min. After the mixture was cooled to ambient temperature, water (200 mL) and concentrate HCl (12 mL) were added. The precipitate was filtered and recrystallized from toluene to give a white solid **G** (3.76 g, 10.4 mmol, 38% yield of two steps).

References:

- [1] Y. Naito, M. Sugiura, Y. Yamaura, C. Fukaya, K. Yokoyama, Y. Nakagawa, T. Ikeda, M. Senda, T. Fujita. *Chem. Pharm. Bull.* **1991**, *39*, 1736.
[2] R. G. D. Taylor, C. G. Bezzu, M. Carta, K. J. Msayib, J. Walker, R. Short, B. M. Kariuki, N. B. McKeown. *Chem. Eur. J.* **2016**, *22*, 2466.
[3] P. T. Lynett, K. E. Maly, *Org. Lett.* **2009**, *11*, 3726.

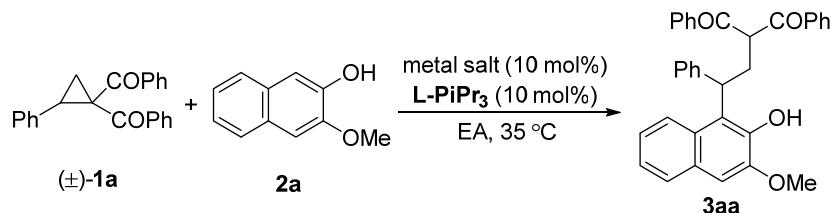
(C) Typical procedure for catalytic asymmetric ring-opening of cyclopropyl ketone with β -naphthols



Sc(OTf)_3 (4.9 mg, 0.01 mmol), *N,N'*-dioxide ligand **L-PiPr**₃ (7.3 mg, 0.01 mmol) and cyclopropyl ketone **1a** (81.5 mg, 0.25 mmol) were stirred in ethyl acetate (0.4 mL) at 35 °C for 1.0 h under nitrogen atmosphere. Then 3-methoxynaphthalen-2-ol **2a** (17.4 mg, 0.10 mmol) was added. The reaction was stirred at 60 °C for 96 h, and then the mixture was directly purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 1/4) to afford the ring-opening product **3aa** (49.0 mg, 98% yield, 97% ee).

(D) Optimization of the reaction conditions

Table 1: Screening of metal salts.^[a]

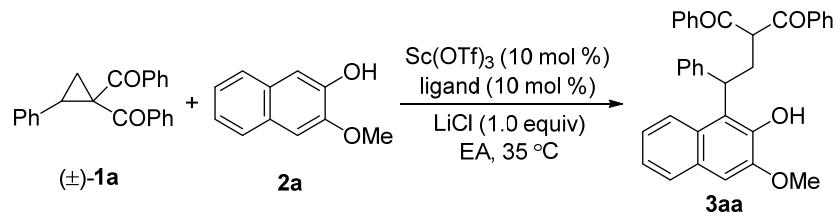


entry	metal salt	yield (%) ^[b]	ee (%) ^[c]
1 ^[d]	Sc(OTf)_3	trace	ND
2	Sc(OTf)_3	94	94
3	Ni(OTf)_2	trace	ND
4	Yb(OTf)_3	48	91
5	Y(OTf)_3	20	87
6 ^[e]	Bi(OTf)_3	trace	ND
7	$\text{ScCl}_3 \cdot 6\text{H}_2\text{O}$	81	94
8 ^[f]	Sc(OTf)_3	95	96
9 ^[f,g]	Sc(OTf)_3	98	97

[a] Unless otherwise noted, the reactions were performed with **1a** (81.5 mg, 0.25 mmol), **2a** (17.4 mg, 0.10 mmol), metal salt (0.01 mmol), and **L-PiPr**₃ (7.3 mg, 0.01 mmol) in ethyl acetate (0.4

mL) at 60 °C for 48 h. [b] Yield of isolated product. [c] Determined by HPLC analysis on a chiral stationary phase (Chiralpak IA). [d] At 35 °C. [e] **1a** was decomposed. [f] 1.0 Equiv. of LiCl (4.2 mg) was added. [g] Reaction time was prolonged to 96 h.

Table 2: Screening of ligands.^[a]



Entry	Ligand	Yield (%) ^[b]	ee (%) ^[c]
1	L-PiBn	<5	41
2	L-PiMe₂	8	69
3	L-PiEt₂	17	89
4	L-PiPr₂	78	91
5	L-PiPr₃	98	97
6	L-PrPr₃	22	72
7	L-RaPr₃	26	90

[a] The reactions were performed with **1a** (81.5 mg, 0.25 mmol), **2a** (17.4 mg, 0.10 mmol), $\text{Sc}(\text{OTf})_3$ (4.9 mg, 0.01 mmol), and ligand (0.01 mmol) in $\text{CHCl}_2\text{CHCl}_2$ (0.5 mL) at 35 °C for 48 h. [b] Yield of isolated product. [c] Determined by HPLC analysis on a chiral stationary phase (Chiralpak IA).

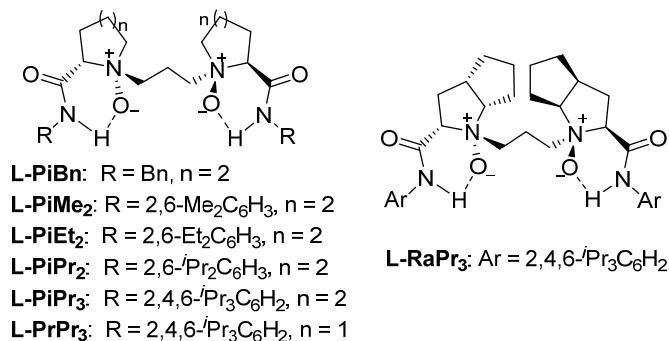
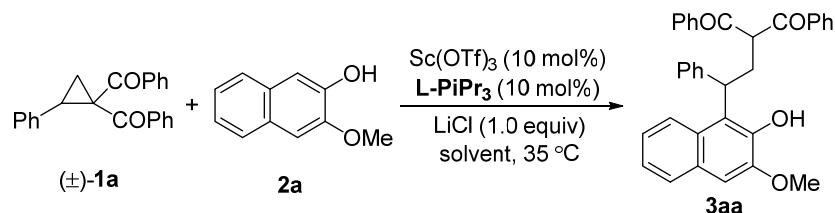


Table 3: Screening of the solvents.^[a]

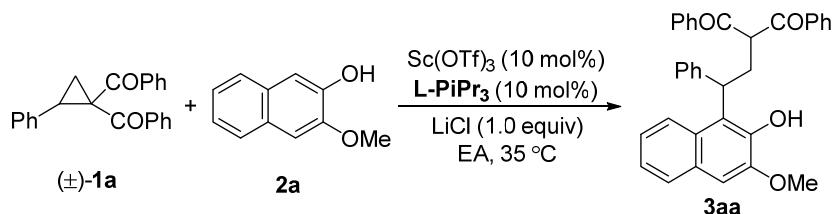


Entry	Solvent	Yield (%) ^[b]	ee (%) ^[c]
1	$\text{CH}_2\text{ClCH}_2\text{Cl}$	98	37

2	THF	88	94
3	Toluene	94	79
4	EA	98	97
5	CH ₃ CN	84	95
6	CH ₃ NO ₂	82	89

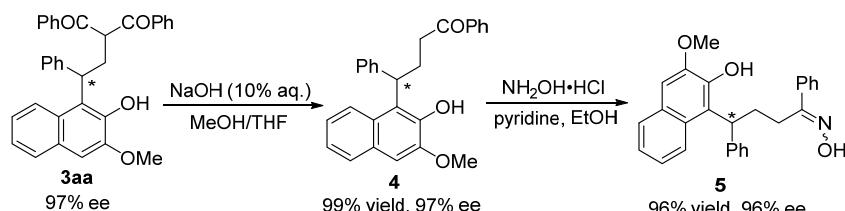
[a] The reactions were performed with **1a** (81.5 mg, 0.25 mmol), **2a** (17.4 mg, 0.10 mmol), Sc(OTf)₃ (4.9 mg, 0.01 mmol), and **L-PiPr₃** (7.3 mg, 0.01 mmol) in solvent (0.5 mL) at 35 °C for 48 h. [b] Yield of isolated product. [c] Determined by HPLC analysis on a chiral stationary phase (Chiralpak IA).

(E) Scaled-up version of the asymmetric reaction



Sc(OTf)₃ (147.6 mg, 0.3 mmol), *N,N'*-dioxide ligand **L-PiPr₃** (220.0 mg, 0.3 mmol), cyclopropyl ketone **1a** (7.5 mmol, 2.445 g) and LiCl (126.0 mg, 3.0 mmol) were stirred in ethyl acetate (12.0 mL) at 35 °C for 1.0 h under nitrogen atmosphere. Then 3-methoxynaphthalen-2-ol **2a** (522.0 mg, 3.0 mmol) was added. The reaction was stirred at 60 °C for 96 h, and then the mixture was directly purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 1/4) to afford the ring-opening product **3aa** (1.390 g, 0.28 mmol, 93% yield, 97% ee).

(F) Typical procedure for the transformations of the product to **4** and **5**.



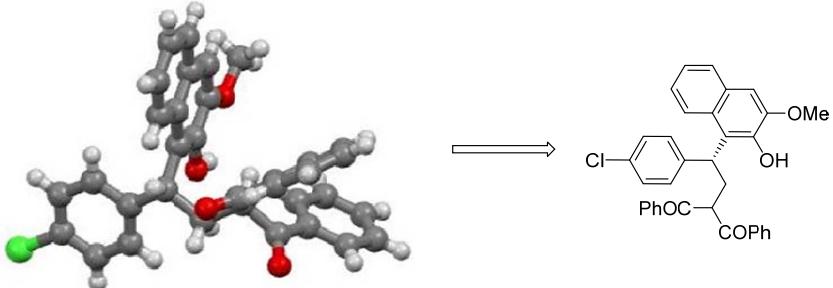
For **4**: A solution of ester **3aa** (365.0 mg, 0.73 mmol) in MeOH (20.0 mL), THF (20.0 mL) and aqueous 10% NaOH (12 mL) was stirred at 35°C for 8 h. After the mixture concentrated under reduced pressure, the residue was extracted with DCM. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography eluting with petroleum ether/ethyl acetate = 3/1 to afford the mono-carbonyl **4** in 99% yield (286.3 mg, 0.72 mmol) with 97% ee.

For **5**: Hydroxylamine hydrochloride (41.7 mg, 0.6 mmol) and pyridine (0.6 mmol) was added to a solution of **4** (79.2 mg, 0.2 mmol) in ethanol (0.4 mL). The reaction mixture was stirred at room temperature for 12 h, diluted with water (5.0 mL) and extracted with CH₂Cl₂ (3×15 mL). Organic layer was washed with brine, and dried over MgSO₄. After evaporation under reduced pressure, the resulting residue was purified through flash chromatograph (petroleum ether/ethyl acetate =4/1)

to give oxime **5** (78.9 mg, 0.19 mmol, 96% yield, 96% ee) as a white solid.

(G) X-ray structure of **3ea**

Single crystal of **3ea** [$C_{34}H_{27}ClO_4$] was obtained from the mixed solvents of petroleum ether and ethyl acetate. The absolute configuration of **3ea** is (*R*). CCDC1553470 contains the supplementary crystallographic data which can be obtained free of charge from the Cambridge Crystallographic Data Center via www.ccdc.cam.ac.uk/data_request/cif.



Empirical formula	$C_{34}H_{27}ClO_4$
Formula weight	535.00
Temperature/K	293.57(10)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	10.8907(6)
b/Å	13.0963(8)
c/Å	19.4170(10)
$\alpha/^\circ$	90
$\beta/^\circ$	90
$\gamma/^\circ$	90
Volume/Å ³	2769.4(3)
Z	4
$\rho_{\text{calc}} \text{g/cm}^3$	1.283
μ/mm^{-1}	1.521
F(000)	1120.0
Crystal size/mm ³	0.7 × 0.4 × 0.1
Radiation	CuK α ($\lambda = 1.54184$)
2 Θ range for data collection/	9.108 to 145.332
Index ranges	-12 ≤ h ≤ 10, -14 ≤ k ≤ 15, -23 ≤ l ≤ 23
Reflections collected	16513
Independent reflections	5272 [$R_{\text{int}} = 0.0410$, $R_{\text{sigma}} = 0.0300$]
Data/restraints/parameters	5272/0/354
Goodness-of-fit on F^2	1.027
Final R indexes [$I >= 2\sigma(I)$]	$R_1 = 0.0511$, $wR_2 = 0.1347$
Final R indexes [all data]	$R_1 = 0.0540$, $wR_2 = 0.1407$
Largest diff. peak/hole / e Å ⁻³	0.22/-0.30

Flack parameter -0.006(10)

Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$). U_{eq} is defined as 1/3 of the trace of the orthogonalised U_{IJ} tensor.

Atom	x	y	z	U(eq)
C100	11031.5(10)	3351.8(9)	3267.2(7)	92.3(4)
O002	5674(2)	4970.9(18)	3602.7(11)	55.5(5)
O003	6939(2)	8990.8(19)	3692.7(14)	63.4(6)
O004	3961(2)	4309(2)	4436.1(12)	66.6(7)
O005	5362(2)	8538.5(19)	2201.1(11)	60.2(6)
C006	6287(2)	6264(2)	4361.6(13)	40.7(6)
C007	5228(3)	6422(2)	5474.4(14)	47.3(7)
C008	4626(3)	5111(2)	4679.3(15)	47.8(6)
C009	5545(3)	5451(2)	4214.8(13)	42.9(6)
C00A	6145(3)	6775(2)	5009.9(13)	43.6(6)
C00B	5201(3)	10537(3)	3878.8(17)	58.1(8)
C00C	6598(3)	7037(2)	3167.1(14)	46.5(6)
C00D	4877(3)	9542(2)	3737.8(14)	47.9(6)
C00E	7219(3)	6611(2)	3824.0(13)	43.1(6)
C00F	5509(3)	7751(2)	3288.9(14)	45.1(6)
C00G	8152(3)	5776(2)	3664.2(14)	45.5(6)
C00H	3804(3)	7315(2)	2392.1(15)	49.4(7)
C00I	6877(3)	7610(3)	5219.0(16)	54.2(7)
C00J	4904(3)	7921(2)	2590.1(15)	46.9(6)
C00K	4473(3)	5592(3)	5296.5(15)	51.2(7)
C00L	5874(3)	8783(2)	3589.0(14)	47.7(6)
C00M	4326(4)	11270(3)	3995(2)	71.5(10)
C00N	8444(3)	5455(3)	3005.3(16)	58.5(8)
C00O	3014(3)	7693(3)	1892.6(18)	58.6(8)
C00P	8779(3)	5318(3)	4204.5(17)	61.1(8)
C00Q	3635(3)	9285(3)	3716.1(17)	56.5(8)
C00R	6721(4)	8061(3)	5852.5(19)	66.4(9)
C00S	2006(3)	7132(3)	1692(2)	68.7(10)
C00T	5101(3)	6914(3)	6120.1(16)	58.7(9)
C00U	3569(3)	6358(3)	2675.2(16)	55.9(7)
C00V	1801(4)	6180(3)	1968(2)	70.4(10)
C00W	2762(4)	10022(3)	3841(2)	68.5(9)
C00X	5827(4)	7705(3)	6303.8(17)	70.4(10)
C00Y	2580(4)	5797(3)	2458.1(19)	65.5(9)
C00Z	9326(4)	4722(3)	2888.2(19)	66.1(9)
C010	9658(4)	4578(4)	4087(2)	70.5(10)
C011	3102(4)	11008(3)	3976(2)	75.3(11)
C012	2988(5)	3952(5)	4851(3)	100.3(17)

	C1	9921(3)	4296(3)	3425(2)	64.0(9)	
Anisotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$). The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^*{}^2U_{11} + 2hka^*b^*U_{12} + \dots]$.						
Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	
Cl00	77.6(7)	85.8(7)	113.5(9)	1.6(6)	19.7(6)	30.7(6)
O002	68.5(14)	56.3(13)	41.6(10)	-11.0(9)	6.4(9)	-13(1)
O003	55.7(13)	53.7(14)	80.7(16)	2.2(12)	-14.9(11)	-5.5(10)
O004	70.0(15)	71.3(17)	58.4(13)	-9.0(11)	10.7(11)	-23.4(13)
O005	65.6(13)	62.0(14)	53.2(12)	19.3(10)	-8.8(10)	-6.3(11)
C006	45.5(13)	43.8(14)	32.7(12)	1.3(10)	-2.7(9)	4.3(11)
C007	49.7(14)	58.2(18)	34.1(12)	-1.9(11)	-3(1)	13.9(13)
C008	48.0(15)	53.8(17)	41.5(13)	1.6(12)	1.0(11)	-0.8(13)
C009	51.7(15)	43.8(15)	33.2(12)	0.4(11)	0.8(10)	3.1(12)
C00A	49.6(14)	46.2(15)	35.0(12)	-2.2(11)	-7.2(10)	10.0(12)
C00B	65.1(19)	54.4(19)	54.8(17)	-1.9(14)	5.1(14)	-9.0(16)
C00C	51.5(15)	50.2(16)	37.7(13)	4.6(11)	1.5(10)	1.2(12)
C00D	58.7(17)	47.8(16)	37.2(14)	4.3(11)	0.5(11)	-5.3(13)
C00E	49.1(14)	44.7(16)	35.5(12)	0.7(11)	-1.7(10)	-1.0(12)
C00F	51.1(15)	43.7(15)	40.5(13)	7.3(11)	-1.5(11)	-3.0(11)
C00G	45.7(14)	50.0(16)	40.8(14)	2.9(11)	1.9(11)	-3.6(11)
C00H	52.2(16)	51.7(16)	44.3(14)	-6.8(12)	0.0(11)	2.5(13)
C00I	63.7(18)	53.0(18)	45.9(15)	-7.2(13)	-8.1(13)	2.6(14)
C00J	56.0(16)	42.0(15)	42.7(14)	3.8(11)	-1.2(11)	4.5(12)
C00K	49.0(16)	63.0(19)	41.7(14)	3.1(13)	6.2(11)	6.6(13)
C00L	55.6(17)	47.7(16)	39.9(13)	8.8(12)	-4.5(11)	-3.4(12)
C00M	87(3)	52(2)	75(2)	-3.2(17)	16.9(19)	-2.7(18)
C00N	64.3(19)	69(2)	42.7(15)	0.1(14)	1.9(13)	8.0(16)
C00O	60(2)	55.5(19)	60.1(18)	-6.8(14)	-8.4(14)	5.1(15)
C00P	60.5(19)	80(2)	42.6(15)	4.0(14)	1.4(12)	10.3(17)
C00Q	59.8(18)	53.7(18)	55.8(18)	1.6(14)	3.0(13)	-5.3(14)
C00R	81(2)	64(2)	54.6(18)	-17.8(16)	-17.9(16)	6.0(18)
C00S	62(2)	74(2)	70(2)	-18.9(19)	-15.3(16)	9.1(17)
C00T	62.6(19)	77(2)	36.9(14)	-7.3(14)	0.6(12)	18.4(17)
C00U	63.1(19)	58.3(18)	46.4(16)	-1.6(13)	4.9(13)	-0.8(15)
C00V	60(2)	78(3)	74(2)	-29(2)	1.2(16)	-8.4(18)
C00W	60(2)	74(2)	72(2)	3.1(19)	6.8(16)	0.4(19)
C00X	84(2)	85(3)	41.7(16)	-21.8(16)	-7.9(15)	20(2)
C00Y	77(2)	59(2)	61(2)	-10.8(16)	13.2(16)	-13.1(17)
C00Z	69(2)	75(2)	54.4(18)	-7.8(17)	11.6(15)	7.9(18)
C010	66(2)	84(3)	61(2)	15.4(19)	0.7(16)	17(2)
C011	78(3)	66(2)	83(3)	4(2)	20(2)	15(2)
C012	98(3)	109(4)	93(3)	-6(3)	19(3)	-51(3)

C1	52.5(18)	61(2)	78(2)	0.7(17)	11.8(16)	9.1(15)
----	----------	-------	-------	---------	----------	---------

Bond Lengths.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
Cl00	C1	1.757(4)	C00F	C00J	1.525(4)
O002	C009	1.352(3)	C00F	C00L	1.525(4)
O003	C00L	1.208(4)	C00G	C00N	1.384(4)
O004	C008	1.360(4)	C00G	C00P	1.388(4)
O004	C012	1.411(5)	C00H	C00J	1.488(4)
O005	C00J	1.214(4)	C00H	C00O	1.388(4)
C006	C009	1.366(4)	C00H	C00U	1.392(5)
C006	C00A	1.434(3)	C00I	C00R	1.375(5)
C006	C00E	1.525(4)	C00M	C011	1.377(6)
C007	C00A	1.423(4)	C00N	C00Z	1.376(5)
C007	C00K	1.405(5)	C00O	C00S	1.377(5)
C007	C00T	1.417(4)	C00P	C010	1.382(5)
C008	C009	1.419(4)	C00Q	C00W	1.376(5)
C008	C00K	1.364(4)	C00R	C00X	1.391(6)
C00A	C00I	1.413(4)	C00S	C00V	1.376(6)
C00B	C00D	1.378(5)	C00T	C00X	1.351(6)
C00B	C00M	1.371(5)	C00U	C00Y	1.370(5)
C00C	C00E	1.547(4)	C00V	C00Y	1.370(6)
C00C	C00F	1.529(4)	C00W	C011	1.369(6)
C00D	C00L	1.499(4)	C00Z	C1	1.349(5)
C00D	C00Q	1.395(5)	C010	C1	1.367(6)
C00E	C00G	1.525(4)			

Bond Angles.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C008	O004	C012	117.3(3)	C00O	C00H	C00J	119.3(3)
C009	C006	C00A	118.8(3)	C00O	C00H	C00U	119.0(3)
C009	C006	C00E	118.9(2)	C00U	C00H	C00J	121.7(3)
C00A	C006	C00E	122.2(3)	C00R	C00I	C00A	121.3(4)
C00K	C007	C00A	120.4(3)	O005	C00J	C00F	118.2(3)
C00K	C007	C00T	120.8(3)	O005	C00J	C00H	121.7(3)
C00T	C007	C00A	118.8(3)	C00H	C00J	C00F	120.0(2)
O004	C008	C009	113.4(3)	C008	C00K	C007	120.1(3)
O004	C008	C00K	126.6(3)	O003	C00L	C00D	120.9(3)
C00K	C008	C009	120.0(3)	O003	C00L	C00F	120.9(3)
O002	C009	C006	119.0(2)	C00D	C00L	C00F	118.2(3)
O002	C009	C008	119.1(3)	C00B	C00M	C011	119.7(4)
C006	C009	C008	122.0(3)	C00Z	C00N	C00G	121.7(3)

C007	C00A	C006	118.7(3)	C00S	C00O	C00H	120.1(4)
C00I	C00A	C006	123.5(3)	C010	C00P	C00G	121.3(3)
C00I	C00A	C007	117.8(3)	C00W	C00Q	C00D	119.7(3)
C00M	C00B	C00D	121.1(3)	C00I	C00R	C00X	120.4(4)
C00F	C00C	C00E	115.6(2)	C00O	C00S	C00V	120.2(4)
C00B	C00D	C00L	118.7(3)	C00X	C00T	C007	121.7(3)
C00B	C00D	C00Q	118.8(3)	C00Y	C00U	C00H	120.3(3)
C00Q	C00D	C00L	122.4(3)	C00Y	C00V	C00S	120.1(4)
C006	C00E	C00C	112.4(2)	C011	C00W	C00Q	120.6(4)
C00G	C00E	C006	111.6(2)	C00T	C00X	C00R	120.0(3)
C00G	C00E	C00C	112.4(2)	C00U	C00Y	C00V	120.3(4)
C00C	C00F	C00J	106.7(2)	C1	C00Z	C00N	119.7(3)
C00C	C00F	C00L	113.5(2)	C1	C010	C00P	119.3(3)
C00L	C00F	C00J	108.8(2)	C00W	C011	C00M	120.1(4)
C00N	C00G	C00E	124.0(3)	C00Z	C1	Cl00	119.1(3)
C00N	C00G	C00P	117.0(3)	C00Z	C1	C010	121.0(3)
C00P	C00G	C00E	118.9(3)	C010	C1	Cl00	119.9(3)

Torsion Angles.

A	B	C	D	Angle/ [°]	A	B	C	D	Angle/ [°]
O004	C008	C009	O002	-0.1(4)	C00G	C00N	C00Z	C1	0.5(6)
O004	C008	C009	C006	178.5(3)	C00G	C00P	C010	C1	0.4(6)
O004	C008	C00K	C007	-179.3(3)	C00H	C00O	C00S	C00V	-2.3(5)
C006	C00A	C00I	C00R	-178.6(3)	C00H	C00U	C00Y	C00V	-1.0(5)
C006	C00E	C00G	C00N	129.4(3)	C00I	C00R	C00X	C00T	-0.3(6)
C006	C00E	C00G	C00P	-52.3(4)	C00J	C00F	C00L	O003	-116.7(3)
C007	C00A	C00I	C00R	0.6(4)	C00J	C00F	C00L	C00D	62.1(3)
C007	C00T	C00X	C00R	0.4(6)	C00J	C00H	C00O	C00S	178.6(3)
C009	C006	C00A	C007	-0.5(4)	C00J	C00H	C00U	C00Y	-176.9(3)
C009	C006	C00A	C00I	178.8(3)	C00K	C007	C00A	C006	-0.5(4)
C009	C006	C00E	C00C	65.6(3)	C00K	C007	C00A	C00I	-179.8(3)
C009	C006	C00E	C00G	-61.8(3)	C00K	C007	C00T	C00X	179.3(3)
C009	C008	C00K	C007	-0.3(5)	C00K	C008	C009	O002	-179.3(3)
C00A	C006	C009	O002	179.7(2)	C00K	C008	C009	C006	-0.7(5)
C00A	C006	C009	C008	1.1(4)	C00L	C00D	C00Q	C00W	-178.4(3)
C00A	C006	C00E	C00C	-112.9(3)	C00L	C00F	C00J	O005	42.7(4)
C00A	C006	C00E	C00G	119.7(3)	C00L	C00F	C00J	C00H	-139.1(3)
C00A	C007	C00K	C008	0.9(4)	C00M	C00B	C00D	C00L	177.7(3)
C00A	C007	C00T	C00X	0.0(5)	C00M	C00B	C00D	C00Q	-0.2(5)
C00A	C00I	C00R	C00X	-0.2(5)	C00N	C00G	C00P	C010	0.3(5)
C00B	C00D	C00L	O003	11.3(4)	C00N	C00Z	C1	Cl00	179.3(3)
C00B	C00D	C00L	C00F	-167.4(3)	C00N	C00Z	C1	C010	0.3(6)
C00B	C00D	C00Q	C00W	-0.6(5)	C00O	C00H	C00J	O005	-24.5(4)

C00B	C00M	C011	C00W	-0.2(7)	C00O	C00H	C00J	C00F	157.4(3)
C00C	C00E	C00G	C00N	2.0(4)	C00O	C00H	C00U	C00Y	0.6(5)
C00C	C00E	C00G	C00P	-179.7(3)	C00O	C00S	C00V	C00Y	1.9(6)
C00C	C00F	C00J	O005	-80.2(3)	C00P	C00G	C00N	C00Z	-0.7(5)
C00C	C00F	C00J	C00H	98.0(3)	C00P	C010	C1	C100	-179.7(3)
C00C	C00F	C00L	O003	2.0(4)	C00P	C010	C1	C00Z	-0.7(7)
C00C	C00F	C00L	C00D	-179.3(2)	C00Q	C00D	C00L	O003	-170.9(3)
C00D	C00B	C00M	C011	0.6(6)	C00Q	C00D	C00L	C00F	10.4(4)
C00D	C00Q	C00W	C011	1.0(6)	C00Q	C00W	C011	C00M	-0.6(7)
C00E	C006	C009	O002	1.1(4)	C00S	C00V	C00Y	C00U	-0.3(6)
C00E	C006	C009	C008	-177.5(3)	C00T	C007	C00A	C006	178.8(3)
C00E	C006	C00A	C007	178.1(2)	C00T	C007	C00A	C00I	-0.5(4)
C00E	C006	C00A	C00I	-2.7(4)	C00T	C007	C00K	C008	-178.4(3)
C00E	C00C	C00F	C00J	-170.0(2)	C00U	C00H	C00J	O005	152.9(3)
C00E	C00C	C00F	C00L	70.1(3)	C00U	C00H	C00J	C00F	-25.2(4)
C00E	C00G	C00N	C00Z	177.6(3)	C00U	C00H	C00O	C00S	1.1(5)
C00E	C00G	C00P	C010	-178.2(3)	C012	O004	C008	C009	-177.1(4)
C00F	C00C	C00E	C006	43.6(3)	C012	O004	C008	C00K	2.0(5)
C00F	C00C	C00E	C00G	170.5(2)					

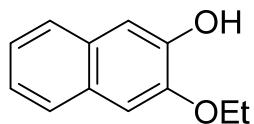
Hydrogen Atom Coordinates ($\text{\AA} \times 10^4$) and Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$).

Atom	x	y	z	U(eq)
H002	5138	4535	3563	83
H00B	6028	10715	3896	70
H00A	7212	7402	2901	56
H00C	6321	6466	2890	56
H00E	7678	7178	4030	52
H00F	4922	7418	3599	54
H00I	7477	7859	4922	65
H00K	3867	5371	5600	61
H00M	4558	11940	4085	86
H00N	8034	5741	2632	70
H00O	3166	8326	1693	70
H00P	8603	5512	4654	73
H00Q	3398	8619	3618	68
H00R	7216	8608	5980	80
H00S	1462	7399	1370	82
H00T	4503	6686	6426	70
H00U	4087	6098	3014	67
H00V	1133	5795	1821	84
H00W	1934	9848	3834	82
H00X	5728	8012	6732	84

H00Y	2437	5154	2644	79
H00Z	9511	4522	2441	79
H010	10067	4274	4454	85
H011	2505	11502	4056	90
H01A	2522	3453	4600	150
H01B	2465	4513	4974	150
H01C	3315	3646	5261	150

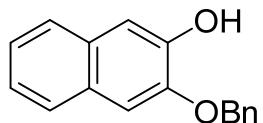
(H) Spectral characterization data for 2-naphthalen-2-ol substrates

3-ethoxynaphthalen-2-ol (2b)



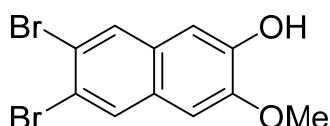
White solid in 72% yield (petroleum ether : ethyl acetate = 4 : 1); ^1H NMR (400 MHz, CDCl_3) δ 7.73 – 7.60 (m, 2H), 7.36 – 7.28 (m, 2H), 7.27 – 7.25 (m, 1H), 7.10 (s, 1H), 6.02 – 5.91 (m, 1H), 4.24 (q, J = 6.8 Hz, 2H), 1.53 (t, J = 6.8 Hz, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 146.6, 145.8, 129.6, 129.0, 126.5, 126.3, 124.2, 123.8, 109.3, 106.4, 64.45, 14.5. ppm; EI-HRMS: Calcd for $\text{C}_{12}\text{H}_{12}\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 211.0730, Found 211.0728.

3-(benzyloxy)naphthalen-2-ol (2c)



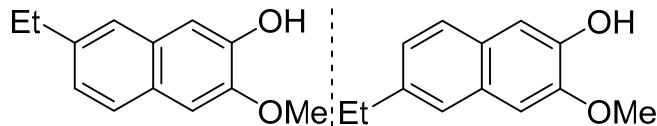
White solid in 47% yield (petroleum ether : ethyl acetate = 4 : 1); ^1H NMR (400 MHz, CDCl_3) δ 7.66 (d, J = 7.6 Hz, 2H), 7.50 – 7.36 (m, 5H), 7.35 – 7.27 (m, 3H), 7.21 (s, 1H), 6.07 – 5.80 (m, 1H), 5.23 (s, 2H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 146.5, 145.8, 135.9, 129.8, 128.9, 128.8, 128.6, 128.0, 126.6, 126.4, 124.5, 123.9, 109.6, 107.1, 71.0.ppm; EI-HRMS: Calcd for $\text{C}_{17}\text{H}_{14}\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 273.0886, Found 273.0892.

3-methoxy-6,7-dibromonaphthalen-2-ol (2d)



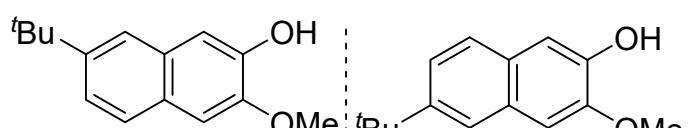
White solid in 65% yield (petroleum ether : ethyl acetate = 4 : 1); ^1H NMR (400 MHz, CDCl_3) δ 7.94 (s, 1H), 7.92 (s, 1H), 7.12 (s, 1H), 6.97 (s, 1H), 5.98 (s, 1H), 4.01 (s, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 148.2, 146.8, 130.7, 130.5, 129.6, 128.9, 119.9, 119.4, 108.4, 104.6, 56.1.ppm; EI-HRMS: Calcd for $\text{C}_{11}\text{H}_8^{78,9183}\text{Br}_2\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 352.8783, Found 352.8776. $\text{C}_{11}\text{H}_8^{78,9183}\text{Br}^{80,9163}\text{Br}\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 354.8763, Found 354.8771. $\text{C}_{11}\text{H}_8^{80,9163}\text{Br}_2\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 356.8742, Found 356.8781.

7-ethyl-3-methoxynaphthalen-2-ol or 6-ethyl-3-methoxynaphthalen-2-ol (2e/2e')



Inseparable mixture, white solid in 63% yield (petroleum ether : ethyl acetate = 3 : 1); ^1H NMR (400 MHz, CDCl_3) δ 7.58 (d, J = 6.4 Hz, 1H), 7.46 (s, 0.48H, minor), 7.44 (s, 0.52H, major), 7.26 – 7.13 (m, 2H), 7.07 (s, 0.48H, minor), 7.05 (s, 0.52H, major), 5.87 (s, 0.51H, major), 5.83 (s, 0.47H, minor), 3.97 (s, 3H), 2.75 (q, J = 7.6 Hz, 2H), 1.29 (t, J = 7.6 Hz, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ = 147.4, 146.8, 145.7, 145.1, 140.3, 139.8, 129.9, 129.2, 127.9, 127.3, 126.5, 126.3, 125.5, 125.0, 124.4, 124.3, 109.3, 109.1, 105.6, 105.4, 55.9, 29.0, 15.7. ppm; EI-HRMS: Calcd for $\text{C}_{13}\text{H}_{14}\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 225.0886, Found 225.0890.

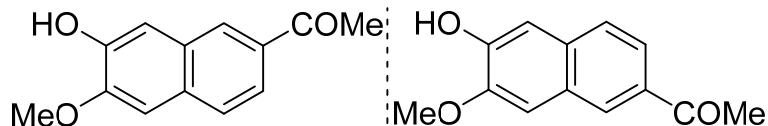
7-(tert-butyl)-3-methoxynaphthalen-2-ol or 6-(tert-butyl)-3-methoxynaphthalen-2-ol (2f/2f')



Inseparable mixture, white solid in 80% yield (petroleum ether : ethyl acetate = 3 : 1); ^1H NMR (400 MHz, CDCl_3) δ 7.65 – 7.56 (m, 2H), 7.45 – 7.36 (m, 1H), 7.23 (s, 0.57H), 5.86 (s, 0.59H), 5.83 (s, 0.41H), 3.99 (s, 0.57H), 7.21 (s, 0.39H), 7.11 (s, 0.4H), 7.08 (s, 0.56H), 7.23 (s, 0.57H), 5.86 (s, 0.59H), 5.83 (s, 0.41H), 3.99 (s,

3H), 1.39 (s, 9H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 147.4, 147.0, 147.0, 146.6, 145.7, 145.2, 129.5, 128.8, 127.7, 127.0, 126.3, 126.2, 126.1, 124.2, 123.1, 122.7, 121.7, 121.6, 109.5, 109.0, 106.3, 105.9, 105.4, 55.9, 34.7, 34.7, 31.4. ppm; EI-HRMS: Calcd for $\text{C}_{15}\text{H}_{18}\text{NaO}_2^+ [\text{M}+\text{Na}]^+$ 253.1199, Found 253.1198.

**1-(7-hydroxy-6-methoxynaphthalen-2-yl)ethan-1-one or
1-(6-hydroxy-6-methoxynaphthalen-2-yl)ethan-1-one (2g/2g')**

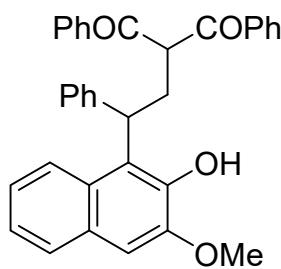


Inseparable mixture, white solid in 30% yield (petroleum ether : ethyl acetate = 2 : 1); ^1H NMR (400 MHz, CDCl_3) δ 8.32 (d, J =

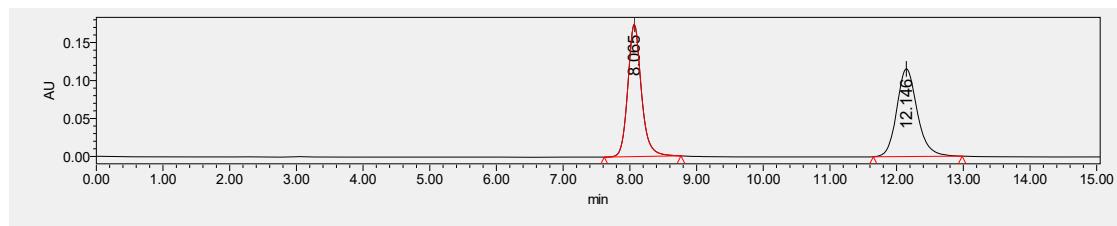
1.2 Hz, 0.61H), 8.28 (d, J = 1.2 Hz, 0.39H), 7.89 (t, J = 2.0 Hz, 0.43H), 7.87 (t, J = 2.0 Hz, 0.53H), 7.70 (t, J = 8.0 Hz, 1H), 7.36 (s, 0.40H), 7.28 (s, 0.61H), 7.22 (s, 0.61H), 7.14 (s, 0.38H), 6.21 (s, 0.59H), 6.07 (s, 0.38H), 4.04 (s, 3H), 2.69 (s, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 198.3, 198.1, 149.3, 148.0, 147.9, 146.4, 133.2, 132.8, 132.5, 131.7, 128.7, 128.6, 128.0, 126.9, 126.7, 122.8, 122.3, 110.9, 109.4, 107.1, 105.6, 56.1, 56.0, 56.0, 26.6, 26.6. ppm; EI-HRMS: Calcd for $\text{C}_{13}\text{H}_{12}\text{NaO}_3^+ [\text{M}+\text{Na}]^+$ 239.0679, Found 239.0679.

(I) Spectral characterization data for products

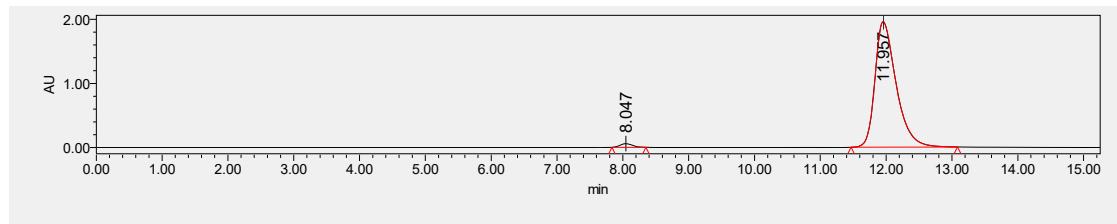
(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3aa)



White solid in 98% yield (49.0 mg; petroleum ether : ethyl acetate = 3.5 : 1); $[\alpha]^{21}_D$ = +59.0 (c 1.18, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 8.05 min, t_r (major) = 11.96 min, 97% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.75 – 7.55 (m, 4H), 7.27 – 7.28 (t, J = 7.0 Hz, 6H), 7.52 – 7.16 (m, 5H), 7.16 – 6.97 (m, 5H), 6.06 (s, 1H), 5.29 (s, 1H), 5.08 – 4.94 (m, 1H), 3.88 (s, 3H), 3.41 (s, 1H), 3.05 (s, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.7, 146.7, 144.7, 143.5, 136.8, 135.1, 133.4, 133.0, 129.6, 128.6, 128.6, 128.3, 128.1, 127.8, 127.6, 125.9, 124.5, 123.9, 120.1, 105.6, 56.0, 56.0, 54.2, 31.08. ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{28}\text{NaO}_4^+ [\text{M}+\text{Na}]^+$ 523.1880, Found 523.1880.

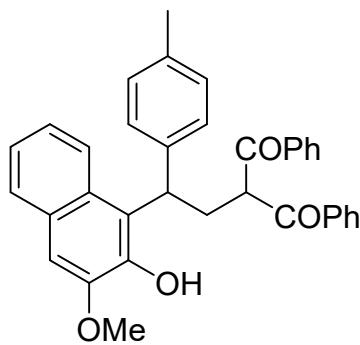


Entry	Retention Time	Area	% Area
1	8.065	2465896	50.02
2	12.146	2464351	49.98

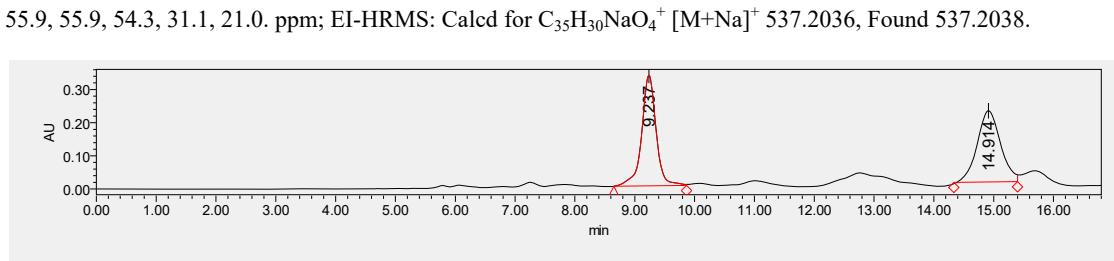


Entry	Retention Time	Area	% Area
1	8.047	741969	1.67
2	11.957	43594782	98.33

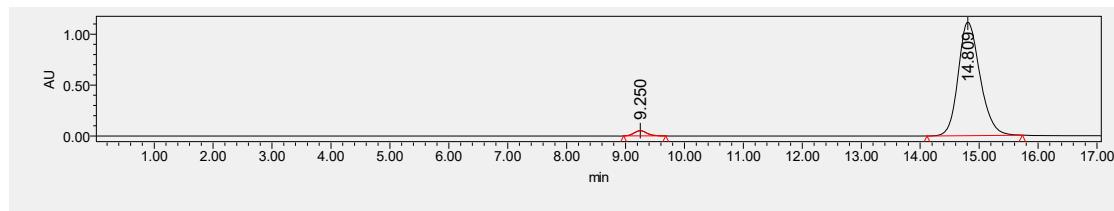
(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(p-tolyl)ethyl)-1,3-diphenylpropane-1,3-dione (3ba)



White solid in 93% yield (47.6 mg; petroleum ether : ethyl acetate = 3 : 1); $[\alpha]^{15}_D = +21.0$ (c 0.97, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), t_r (minor) = 9.25 min, t_r (major) = 14.81 min, 95% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.77 – 7.52 (m, 4H), 7.52 – 7.19 (m, 4H), 7.19 – 6.93 (m, 6H), 6.01 (s, 1H), 5.21 (s, 1H), 4.98 (d, *J* = 9.6 Hz, 1H), 3.94 (s, 3H), 3.37 (s, 1H), 3.03 (s, 1H), 2.26 (s, 3H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.6, 146.6, 144.5, 140.3, 136.8, 135.3, 135.1, 133.3, 132.9, 129.5, 128.9, 128.6, 128.5, 128.5, 128.1, 127.6, 127.4, 124.5, 123.8, 120.3, 105.4, 55.9, 55.9, 54.3, 31.1, 21.0. ppm; EI-HRMS: Calcd for C₃₅H₃₀NaO₄⁺ [M+Na]⁺ 537.2036, Found 537.2038.

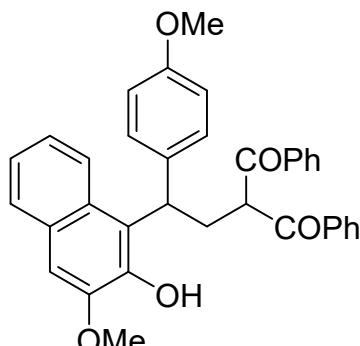


Entry	Retention Time	Area	% Area
1	9.237	5585754	48.99
2	14.914	5816261	51.01



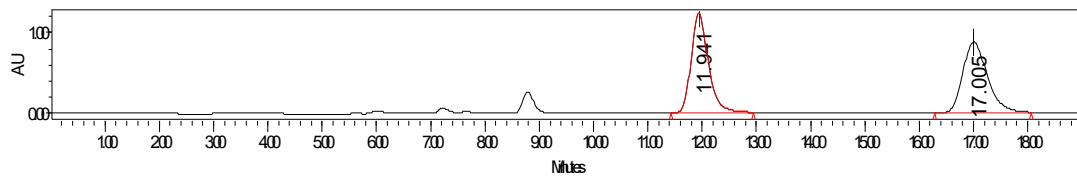
Entry	Retention Time	Area	% Area
1	9.250	774448	2.64
2	14.809	28599265	97.36

(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(4-methoxyphenyl)ethyl)-1,3-diphenylpropane-1,3-dione (3ca)

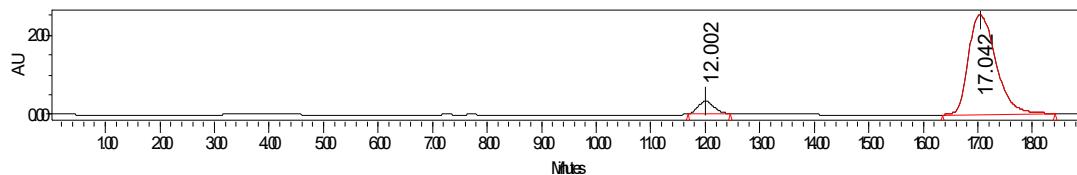


White solid in 86% yield (45.6 mg; petroleum ether : ethyl acetate = 3 : 1); $[\alpha]^{18}_D = +18.3$ (c 0.84, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), t_r (minor) = 12.00 min, t_r (major) = 17.04 min, 86% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.74 – 7.58 (m, 4H), 7.52 – 7.32 (m, 4H), 7.30 – 7.23 (m, 5H), 7.20 – 7.03 (m, 4H), 6.81 – 6.71 (m, 2H), 6.02 (s, 1H), 5.19 (s, 1H), 4.98 (d, *J* = 7.6 Hz, 1H), 3.96 (s, 3H), 3.73 (s, 3H), 3.35 (s, 1H), 3.01 (s, 1H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.6, 157.7, 146.6, 144.5, 136.8, 135.5, 135.2, 133.2, 132.9, 129.5, 128.6, 128.5, 128.1, 127.6, 124.5,

123.8, 120.3, 113.6, 105.4, 55.9, 55.9, 55.2, 55.2, 31.3. ppm; EI-HRMS: Calcd for C₃₅H₃₀NaO₅⁺ [M+Na]⁺ 553.1985, Found 553.1984.

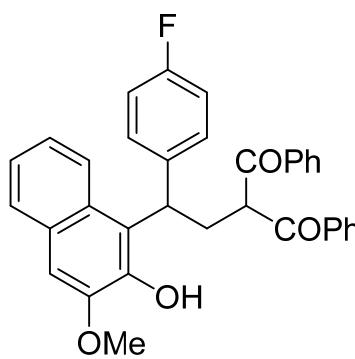


Entry	Retention Time	Area	% Area
1	11.941	27494680	49.67
2	17.005	27863787	50.33

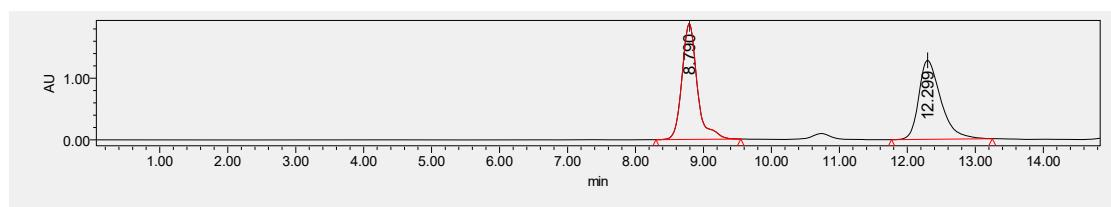


Entry	Retention Time	Area	% Area
1	12.002	6538344	7.00
2	17.042	86839474	93.00

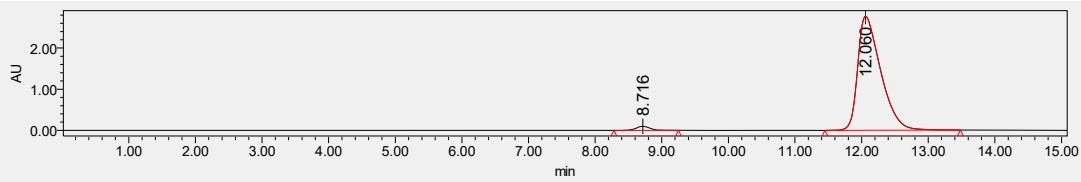
(+)-2-(2-(4-fluorophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione
(3da)



White solid in 96% yield (49.7 mg; petroleum ether : ethyl acetate = 3.5 : 1); $[\alpha]^{15}_D = +19.2$ (*c* 0.97, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 8.72 min, t_r (major) = 12.06 min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.69 – 7.53 (m, 3H), 7.49 – 7.36 (m, 3H), 7.36 – 7.20 (m, 6H), 7.20 – 6.99 (m, 4H), 6.96 – 6.85 (m, 2H), 6.04 (s, 1H), 5.22 (s, 1H), 4.98 (d, *J* = 10.0 Hz, 1H), 3.96 (s, 3H), 3.35 (s, 1H), 3.01 (s, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.5, 161.2 (d, *J* = 244.8 Hz), 146.6, 144.5, 139.1, 136.7, 135.0, 133.4, 133.0, 129.5, 129.0 (d, *J* = 2.8 Hz), 128.6, 128.6, 128.5, 128.1, 127.7, 124.6, 123.9, 119.8, 114.9 (d, *J* = 21.1 Hz), 105.7, 56.0, 56.0, 54.2, 31.1. ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{27}\text{FNaO}_4^+$ [M+Na]⁺ 541.1786, Found 541.1788.

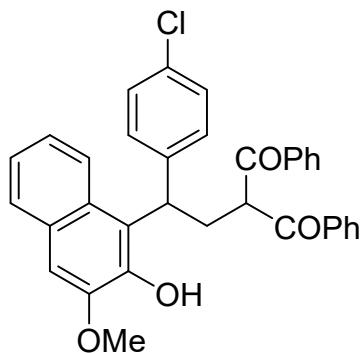


Entry	Retention Time	Area	% Area
1	8.790	29329881	50.52
2	12.299	28721107	49.48

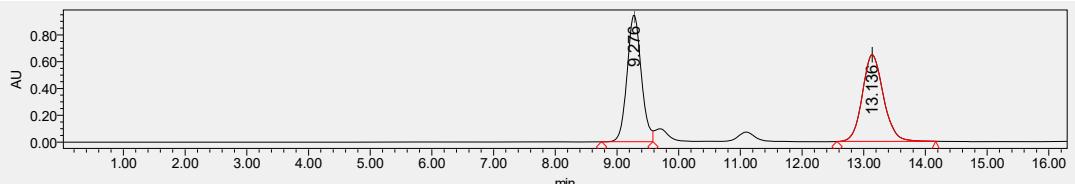


Entry	Retention Time	Area	% Area
1	8.716	1441072	2.13
2	12.060	66255136	97.87

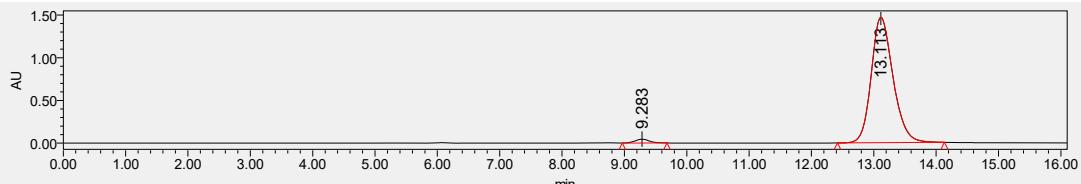
**(+)-2-(2-(4-chlorophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione
(3ea)**



White solid in 95% yield (50.9 mg; petroleum ether : ethyl acetate = 3.5 : 1); $[\alpha]^{18}_D = +20.5$ (c 1.00, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 9.28 min, t_r (major) = 13.11 min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.73 (d, $J = 7.6$ Hz, 1H), 7.61 (d, $J = 6.0$ Hz, 3H), 7.50 – 7.36 (m, 3H), 7.36 – 7.22 (m, 6H), 7.22 – 7.00 (m, 6H), 6.03 (s, 1H), 5.21 (s, 1H), 4.96 (d, $J = 9.6$ Hz, 1H), 3.96 (s, 3H), 3.35 (s, 1H), 3.00 (s, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.5, 146.5, 144.6, 142.0, 136.7, 134.9, 133.4, 133.0, 131.5, 129.5, 128.9, 128.6, 128.5, 128.3, 128.1, 127.8, 124.6, 123.9, 119.5, 105.7, 56.0, 54.1, 30.9. ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{27}^{34,9689}\text{ClNaO}_4^+ [\text{M}+\text{Na}]^+$ 557.1490, Found 557.1487; $\text{C}_{34}\text{H}_{27}^{36,9659}\text{ClNaO}_4^+ [\text{M}+\text{Na}]^+$ 559.1461, Found 559.1472



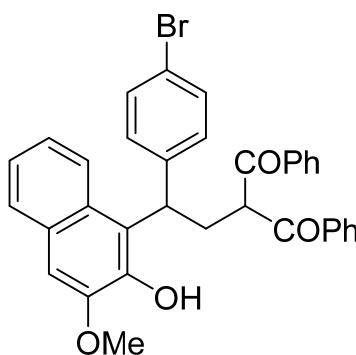
Entry	Retention Time	Area	% Area
1	9.276	15107178	49.76
2	13.136	15255929	50.24



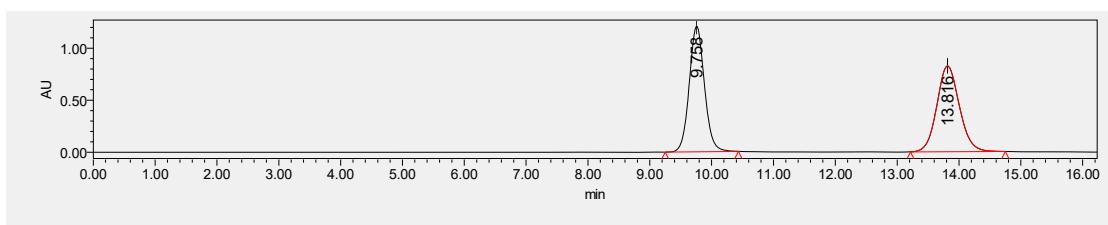
Entry	Retention Time	Area	% Area
1	9.283	695123	1.91
2	13.113	35756117	98.09

(+)-2-(2-(4-bromophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione

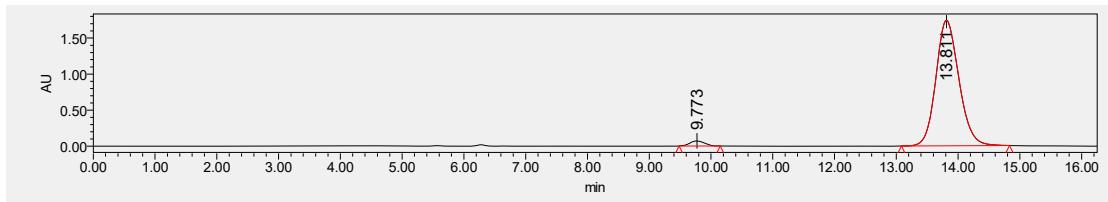
(3fa)



White solid in 97% yield (56.2 mg; petroleum ether : ethyl acetate = 3.5 : 1); $[\alpha]^{16}_D = +17.2$ (c 0.90, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 9.77 min, t_r (major) = 13.81 min, 95% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (d, $J = 2.0$ Hz, 1H), 7.69 – 7.53 (m, 3H), 7.50 – 7.37 (m, 3H), 7.35 – 7.19 (m, 8H), 7.19 – 6.92 (m, 4H), 6.03 (s, 1H), 5.19 (s, 1H), 4.96 (d, $J = 9.6$ Hz, 1H), 3.96 (s, 3H), 3.34 (s, 1H), 2.99 (s, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.5, 146.5, 144.7, 142.5, 136.7, 134.9, 133.4, 133.0, 131.2, 129.5, 129.4, 128.6, 128.5, 128.1, 127.8, 124.7, 124.0, 119.7, 105.7, 56.0, 56.0, 54.1, 30.8. ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{27}^{78,9183}\text{BrNaO}_4^+ [\text{M}+\text{Na}]^+$ 601.0985, Found 601.0977; $\text{C}_{34}\text{H}_{27}^{80,9163}\text{BrNaO}_4^+ [\text{M}+\text{Na}]^+$ 603.0964, Found 603.0974.



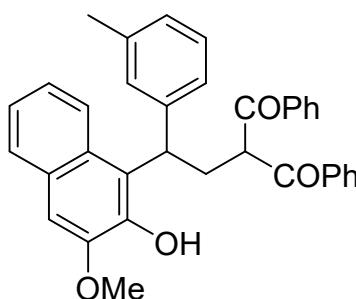
Entry	Retention Time	Area	% Area
1	9.758	20623087	49.78
2	13.816	20808501	50.22

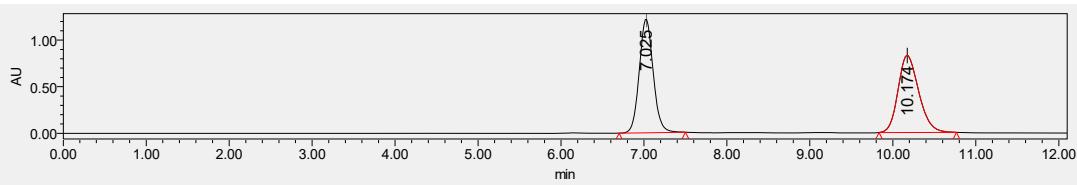


Entry	Retention Time	Area	% Area
1	9.773	1151309	2.49
2	13.811	44999424	97.51

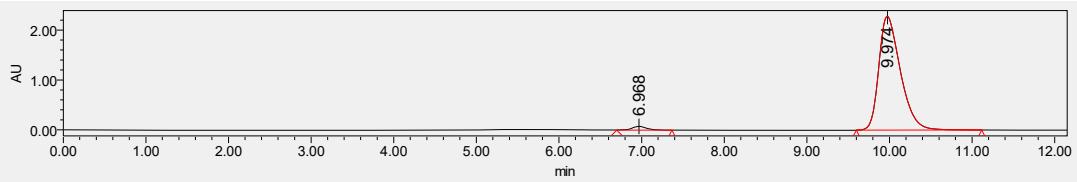
(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(m-tolyl)ethyl)-1,3-diphenylpropane-1,3-dione (3ga)

White solid in 99% yield (51.1 mg; petroleum ether : ethyl acetate = 3.5 : 1); $[\alpha]^{13}_D = +28.4$ (c 1.04, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 6.97 min, t_r (major) = 9.97 min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.75 – 7.65 (m, 2H), 7.61 (d, $J = 7.6$ Hz, 2H), 7.52 – 7.31 (m, 4H), 7.30 – 7.23 (m, 3H), 7.20 (s, 1H), 7.19 – 6.99 (m, 6H), 6.95 (d, $J = 7.2$ Hz, 1H), 6.01 (s, 1H), 5.22 (s, 1H), 4.98 (dd, $J = 9.6, 2.8$ Hz, 1H), 3.94 (s, 3H), 3.47 – 3.28 (m, 1H), 3.03 (s, 1H), 2.24 (s, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.6, 146.6, 144.6, 143.4, 137.7, 136.8, 135.1, 133.3, 132.9, 129.5, 128.6, 128.5, 128.3, 128.1, 128.1, 127.6, 126.7, 124.6, 124.5, 123.8, 120.2, 105.5, 56.0, 56.0, 54.2, 31.0, 21.6. ppm; EI-HRMS: Calcd for $\text{C}_{35}\text{H}_{30}\text{NaO}_4^+ [\text{M}+\text{Na}]^+$ 537.2036, Found 537.2038.



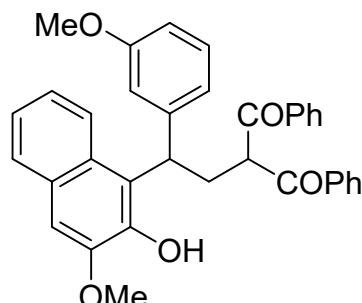


Entry	Retention Time	Area	% Area
1	7.025	14211117	49.92
2	10.174	14254657	50.08

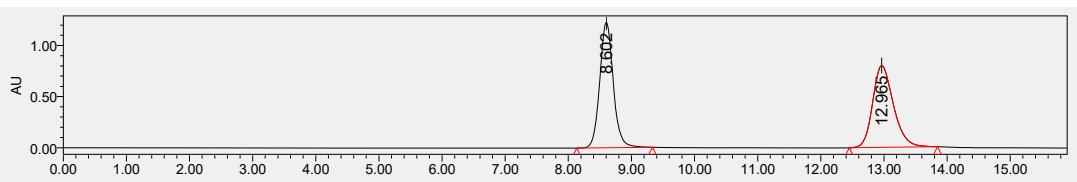


Entry	Retention Time	Area	% Area
1	6.968	949775	2.24
2	9.974	41434741	97.76

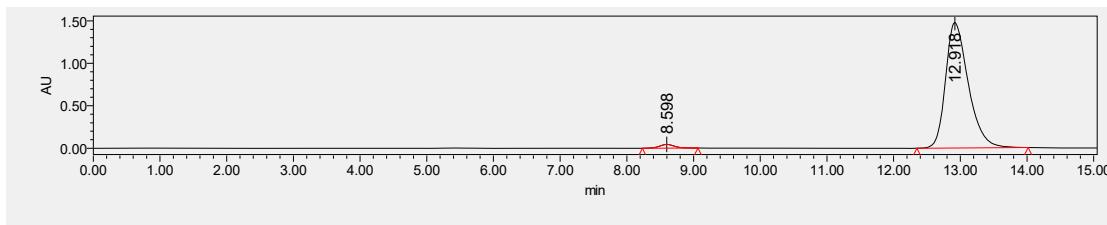
**(+)-2-(2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(3-methoxyphenyl)ethyl)-1,3-diphenylpropane-1,3-dione
(3ha)**



White solid in 99% yield (52.8 mg; petroleum ether : ethyl acetate = 3 : 1); $[\alpha]^{13}_D = +26.7$ (c 0.89, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 8.60 min, t_r (major) = 12.92 min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.79 – 7.56 (m, 4H), 7.50 – 7.21 (m, 7H), 7.21 – 7.01 (m, 5H), 6.95 (d, $J = 7.2$ Hz, 2H), 6.77 – 6.60 (m, 1H), 6.02 (s, 1H), 5.24 (s, 1H), 4.98 (d, $J = 7.8$ Hz, 1H), 3.94 (s, 3H), 3.69 (s, 3H), 3.49 – 3.26 (m, 1H), 3.02 (s, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.6, 195.7, 159.6, 146.6, 145.2, 144.6, 136.8, 135.1, 133.3, 132.9, 129.5, 129.1, 128.6, 128.5, 128.5, 128.1, 127.6, 124.5, 123.8, 120.1, 112.0, 113.7, 110.9, 105.5, 55.9, 55.2, 55.2, 54.2, 31.0. ppm; EI-HRMS: Calcd for $\text{C}_{35}\text{H}_{30}\text{NaO}_5^+ [\text{M}+\text{Na}]^+$ 553.1985, Found 553.1989.

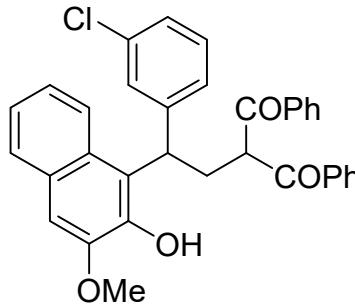


Entry	Retention Time	Area	% Area
1	8.602	18189449	49.85
2	12.965	18301901	50.15

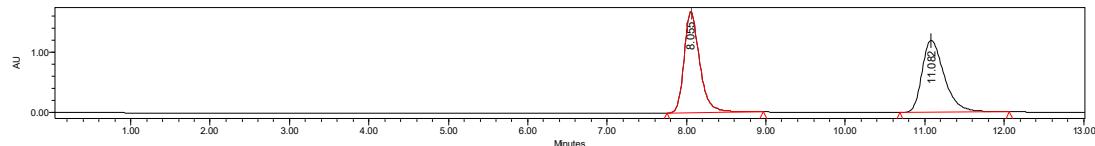


Entry	Retention Time	Area	% Area
1	8.598	688959	1.94
2	12.918	34886851	98.06

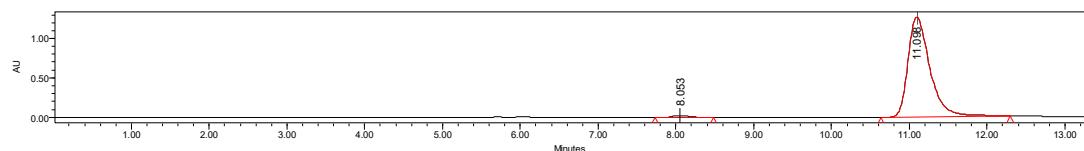
(+)-2-(2-(3-chlorophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione
(3ia)



White solid in 64% yield (34.1 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{18}_D = +23.2$ (*c* 0.33, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 8.05 min, *t*_r (major) = 11.08 min, 97% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, *J* = 8.0 Hz, 1H), 7.68 – 7.54 (m, 3H), 7.51 – 7.38 (m, 3H), 7.35 (s, 1H), 7.34 – 7.21 (m, 5H), 7.21 – 6.97 (m, 6H), 6.03 (s, 1H), 5.22 (s, 1H), 4.95 (d, *J* = 9.6 Hz, 1H), 3.97 (s, 3H), 3.34 (s, 1H), 3.01 (s, 1H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.4, 146.5, 145.6, 144.7, 136.7, 134.9, 134.1, 133.4, 132.9, 129.5, 129.4, 128.6, 128.6, 128.5, 128.1, 127.7, 126.1, 125.8, 124.7, 124.0, 119.3, 105.8, 56.0, 56.0, 54.0, 30.7. ppm; EI-HRMS: Calcd for C₃₄H₂₇^{34.9689}ClKO₄⁺ [M+K]⁺ 573.1229, Found 573.1231; C₃₄H₂₇^{36.9659}ClKO₄⁺ [M+K]⁺ 575.1200, Found 575.1229



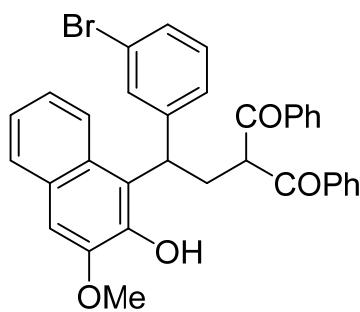
Entry	Retention Time	Area	% Area
1	8.055	22927270	49.59
2	11.082	23310567	50.41



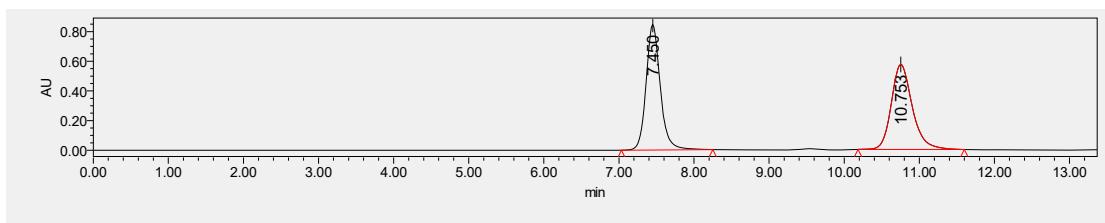
Entry	Retention Time	Area	% Area
1	8.053	328454	1.32
2	11.098	24612339	98.68

(+)-2-(2-(3-bromophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione

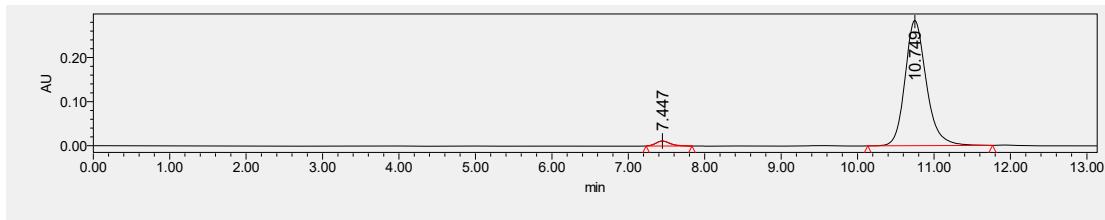
(3ja)



White solid in 81% yield (47.0 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{17}_D = +26.7$ (c 0.15 CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 7.45 min, *t*_r (major) = 10.75 min, 95% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, *J* = 7.6 Hz, 1H), 7.69 – 7.55 (m, 3H), 7.52 (s, 1H), 7.49 – 7.36 (m, 3H), 7.35 – 7.21 (m, 6H), 7.21 – 6.95 (m, 5H), 6.03 (s, 1H), 5.22 (s, 1H), 4.95 (d, *J* = 9.6 Hz, 1H), 3.96 (s, 3H), 3.47 – 3.22 (m, 1H), 3.00 (s, 1H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.4, 146.5, 145.9, 144.6, 136.6, 135.0, 133.4, 133.0, 130.6, 129.7, 129.5, 129.0, 128.6, 128.5, 128.1, 127.7, 126.3, 124.7, 124.0, 122.5, 119.3, 105.8, 56.0, 56.0, 54.0, 30.7. ppm; EI-HRMS: Calcd for C₃₄H₂₇^{78.9183}BrNaO₄⁺ [M+Na]⁺ 601.0985, Found 601.0983; C₃₄H₂₇^{80.9163}BrNaO₄⁺ [M+Na]⁺ 603.0964, Found 603.0970.

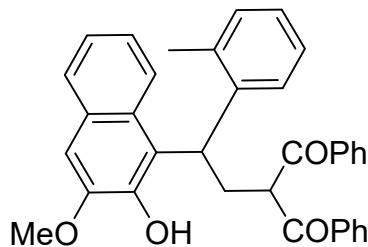


Entry	Retention Time	Area	% Area
1	7.450	11038036	49.90
2	10.753	11080619	50.10

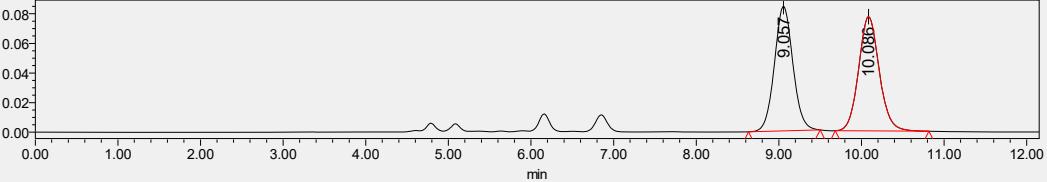


Entry	Retention Time	Area	% Area
1	7.447	147480	2.61
2	10.749	5499941	97.39

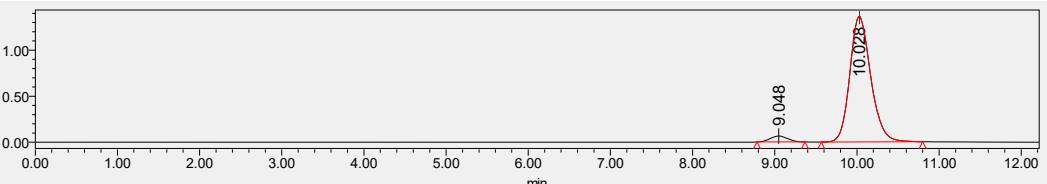
(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(o-tolyl)ethyl)-1,3-diphenylpropane-1,3-dione (3ka)



White solid in 87% yield (44.5 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{15}_D = +105.5$ (c 0.84, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 9.05 min, *t*_r (major) = 10.03 min, 92% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.80 (d, *J* = 8.8 Hz, 1H), 7.17 – 6.96 (m, 4H), 7.49 – 7.32 (m, 4H), 7.27 (t, *J* = 7.6 Hz, 4H), 7.21 (dd, *J* = 16.4, 8.4 Hz, 1H), 7.17 – 6.96 (m, 6H), 6.11 (s, 1H), 5.21 – 5.03 (m, 2H), 3.95 (s, 3H), 3.29 – 3.16 (m, 1H), 3.15 – 3.00 (m, 1H), 2.04 (s, 3H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.7, 195.9, 146.4, 144.4, 141.6, 137.3, 136.7, 135.2, 133.2, 132.9, 130.6, 129.3, 128.6, 128.6, 128.5, 128.2, 127.6, 126.1, 125.5, 124.5, 123.8, 123.6, 119.4, 105.5, 55.9, 54.1, 37.7, 31.5, 19.9 ppm; EI-HRMS: Calcd for C₃₅H₃₀NaO₄⁺ [M+Na]⁺ 537.2036, Found 537.2040.

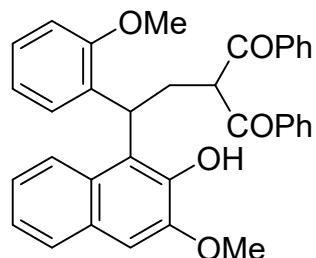


Entry	Retention Time	Area	% Area
1	9.057	1308567	49.43
2	10.086	1338641	50.57

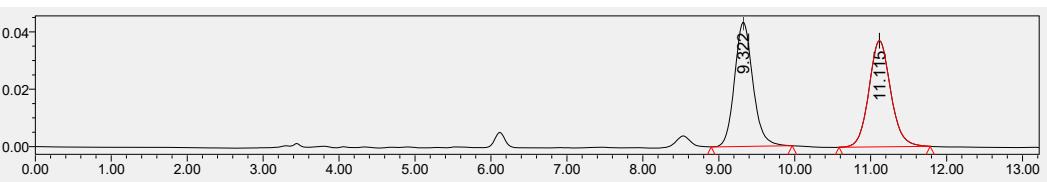


Entry	Retention Time	Area	% Area
1	9.048	959058	3.84
2	10.028	24025554	96.16

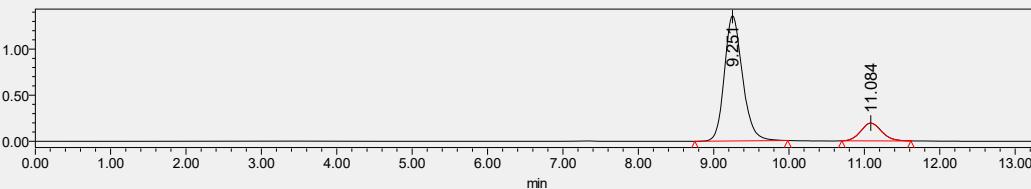
**(+)-2-(2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(2-methoxyphenyl)ethyl)-1,3-diphenylpropane-1,3-dione
(3la)**



White solid in 84% yield (44.3 mg; petroleum ether : ethyl acetate = 3 : 1); $[\alpha]^{16}_D = +3.1$ (c 1.06, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 9.25 min, *t*_r (major) = 11.08 min, 72% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 8.8 Hz, 1H), 7.72 – 7.59 (m, 4H), 7.57 (d, *J* = 7.2 Hz, 2H), 7.49 – 7.39 (m, 2H), 7.30 – 7.14 (m, 6H), 7.11 (ddd, *J* = 8.4, 6.8, 1.2 Hz, 1H), 7.04 (s, 1H), 6.91 (td, *J* = 7.6, 0.8 Hz, 1H), 6.82 – 6.68 (m, 1H), 6.17 (s, 1H), 5.31 (t, *J* = 8.0 Hz, 1H), 5.12 (t, *J* = 6.4 Hz, 1H), 3.96 (s, 3H), 3.46 (s, 3H), 3.21 (t, *J* = 7.2 Hz, 2H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.5, 196.2, 157.0, 146.9, 144.4, 136.3, 136.0, 133.1, 133.0, 132.0, 129.4, 129.2, 129.0, 128.5, 128.5, 128.4, 127.4, 127.2, 124.3, 123.7, 123.5, 120.8, 120.4, 110.2, 105.1, 55.9, 55.9, 55.0, 54.6, 35.0, 32.0 ppm; EI-HRMS: Calcd for C₃₅H₃₀NaO₅⁺ [M+Na]⁺ 553.1985, Found 553.1984.

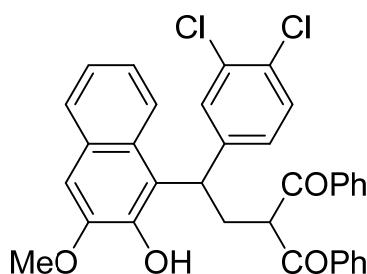


Entry	Retention Time	Area	% Area
1	9.322	725626	49.69
2	11.115	734815	50.31

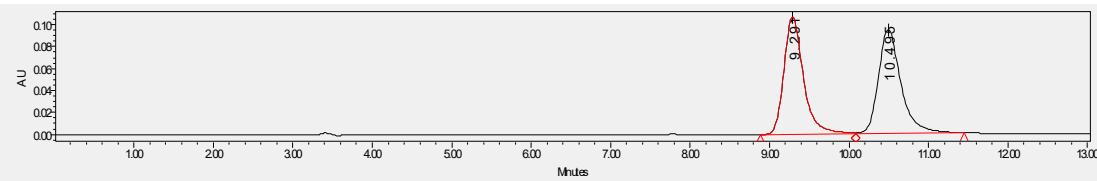


Entry	Retention Time	Area	% Area
1	9.251	22610054	85.96
2	11.084	3693095	14.04

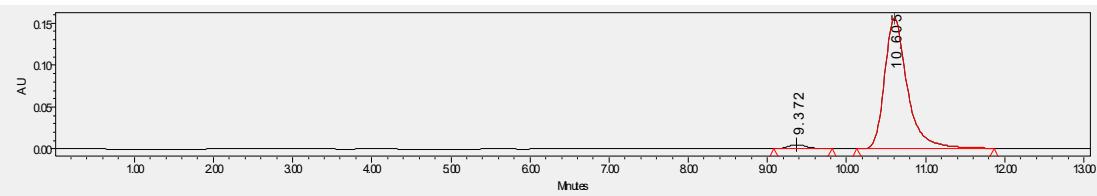
(+)-2-(2-(3,4-dichlorophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)ethyl)-1,3-diphenylpropane-1,3-dione
(3ma)



White solid in 52% yield (29.0 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{18}_D = +24.1$ (*c* 0.57, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column (*iPrOH/hexane* = 30/70, 1.0 mL/min, 254 nm), t_r (minor) = 9.37 min, t_r (major) = 10.61 min, 95% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.74 (d, *J* = 7.6 Hz, 1H), 7.69 – 7.51 (m, 3H), 7.51 – 7.36 (m, 4H), 7.34 – 7.22 (m, 5H), 7.21 – 6.97 (m, 5H), 6.04 (s, 1H), 5.19 (s, 1H), 4.93 (d, *J* = 10.0 Hz, 1H), 3.99 (s, 3H), 3.31 (s, 1H), 2.98 (s, 1H).ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.3, 146.5, 143.9, 136.6, 134.9, 133.4, 133.0, 132.2, 123.0, 129.7, 129.5, 128.6, 128.5, 128.1, 127.8, 127.1, 124.8, 124.1, 105.9, 56.0, 56.0, 53.9, 30.6 ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{26}^{34.9689}\text{Cl}_2\text{NaO}_4^+$ [M+Na]⁺ 591.1100, Found 591.1093 $\text{C}_{34}\text{H}_{26}^{34.9689}\text{Cl}^{36.9659}\text{NaO}_4^+$ [M+Na]⁺ 593.1071, Found 593.1076. $\text{C}_{34}\text{H}_{26}^{36.9659}\text{Cl}_2\text{NaO}_4^+$ [M+Na]⁺ 595.1041, Found 595.1072.



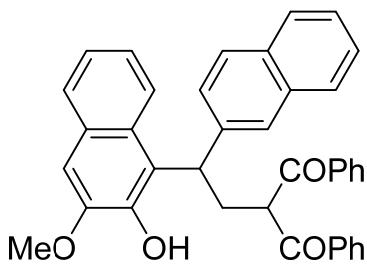
Entry	Retention Time	Area	% Area
1	9.291	1835197	49.62
2	10.495	1863037	50.38



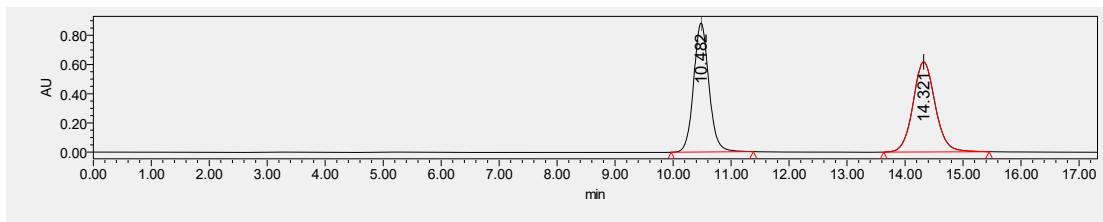
Entry	Retention Time	Area	% Area
1	9.372	75211	2.36
2	10.605	3105583	97.64

(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-(naphthalen-2-yl)ethyl)-1,3-diphenylpropane-1,3-dione

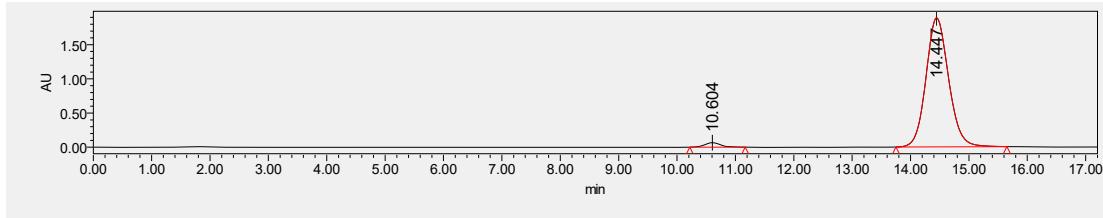
(3na)



White solid in 99% yield (54.8 mg; petroleum ether : ethyl acetate = 4.5 : 1); $[\alpha]^{14}_D = +121.2$ (c 0.78, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 10.60 min, *t*_r (major) = 14.45 min, 95% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.91 (s, 1H), 7.80 – 7.55 (m, 7H), 7.48 – 7.33 (m, 6H), 7.30 – 7.22 (m, 3H), 7.20 – 6.90 (m, 4H), 6.03 (s, 1H), 5.42 (s, 1H), 5.05 (d, *J* = 8.0 Hz, 1H), 3.93 (s, 3H), 3.55 (s, 1H), 3.13 (s, 1H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.6, 146.6, 144.8, 141.1, 136.8, 135.1, 133.5, 133.3, 133.0, 132.0, 129.5, 128.7, 128.6, 128.6, 128.1, 127.9, 127.8, 127.7, 127.5, 126.9, 125.8, 125.3, 125.1, 124.6, 123.9, 119.9, 105.7, 56.0, 56.0, 54.2, 30.9 ppm; EI-HRMS: Calcd for C₃₈H₃₀NaO₄⁺ [M+Na]⁺ 573.2036, Found 573.2035.

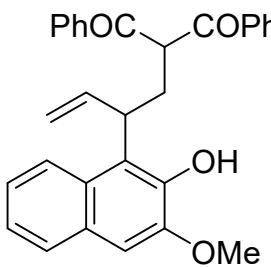


Entry	Retention Time	Area	% Area
1	10.482	16207789	49.76
2	14.321	16360876	50.24

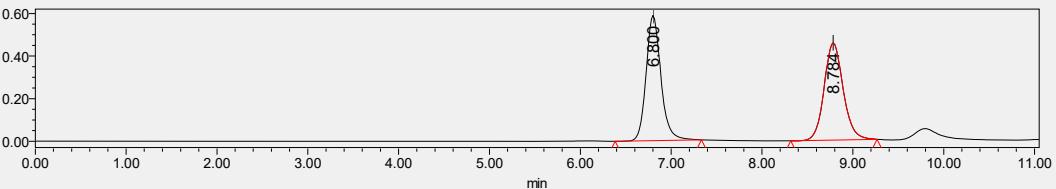


Entry	Retention Time	Area	% Area
1	10.604	1215425	2.34
2	14.447	50754612	97.66

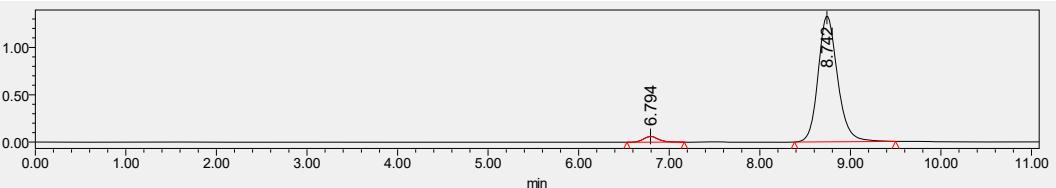
(-)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)but-3-en-1-yl)-1,3-diphenylpropane-1,3-dione (3oa)



White solid in 56% yield (25.3 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{16}_D = -11.2$ (c 0.80, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 6.79 min, *t*_r (major) = 8.74 min, 93% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 8.0 Hz, 1H), 7.77 – 7.66 (m, 3H), 7.65 – 7.37 (m, 4H), 7.35 – 7.15 (m, 6H), 7.08 (s, 1H), 6.64 – 6.32 (m, 1H), 6.05 (s, 1H), 5.24 – 5.09 (m, 2H), 5.04 (s, 1H), 4.56 (s, 1H), 3.97 (s, 3H), 3.06 – 2.87 (m, 1H), 2.80 – 2.65 (m, 1H).ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.5, 146.6, 143.9, 139.9, 136.5, 135.4, 133.2, 133.0, 129.4, 128.6, 128.6, 128.2, 128.2, 127.6, 124.4, 124.4, 123.8, 119.6, 115.3, 105.3, 56.0, 56.0, 54.4, 31.5 ppm; EI-HRMS: Calcd for C₃₀H₂₇O₄⁺ [M+H]⁺ 451.1904, Found 451.1898.



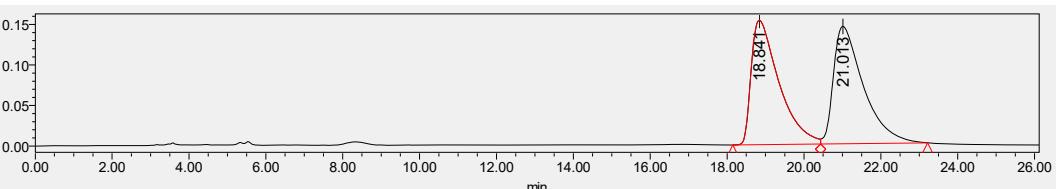
Entry	Retention Time	Area	% Area
1	6.800	6642120	50.05
2	8.784	6629506	49.95



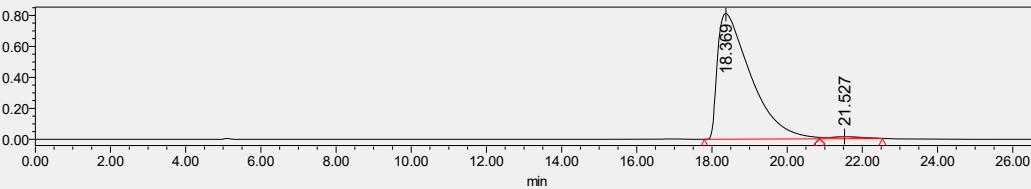
Entry	Retention Time	Area	% Area
1	6.794	685287	3.35
2	8.742	19784864	96.65

(+)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-di-p-tolylpropane-1,3-dione (3pa)

White solid in 85% yield (44.9 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{11}_D = +12.4$ (c 0.99, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IB column ($i\text{PrOH}/\text{hexane} = 10/90$, 1.0 mL/min, 254 nm), t_r (major) = 18.40 min, t_r (minor) = 21.53 min, 97% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.71 (d, $J = 8.0$ Hz, 1H), 7.66 (d, $J = 4.9$ Hz, 1H), 7.51 (d, $J = 7.8$ Hz, 2H), 7.36 (d, $J = 7.7$ Hz, 2H), 7.34 – 7.15 (m, 5H), 7.15 – 7.06 (m, 3H), 7.03 (d, $J = 7.6$ Hz, 2H), 6.99 – 6.74 (m, 2H), 6.01 (s, 1H), 5.25 (s, 1H), 5.06 – 4.83 (m, 1H), 3.94 (s, 3H), 3.39 (s, 1H), 3.02 (s, 1H), 2.29 (s, 6H).ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.3, 146.6, 144.6, 144.1, 143.6, 143.6, 134.3, 132.8, 129.5, 129.2, 129.2, 128.8, 128.3, 128.2, 127.6, 125.8, 124.5, 123.8, 120.3, 105.5, 55.9, 55.9, 54.2, 31.1, 21.6, 21.6 ppm; EI-HRMS: Calcd for $\text{C}_{36}\text{H}_{32}\text{NaO}_4^+$ $[\text{M}+\text{Na}]^+$ 551.2193, Found 551.2195.

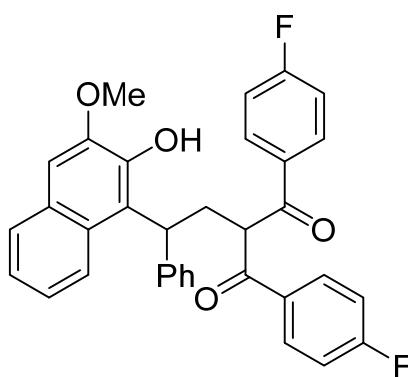


Entry	Retention Time	Area	% Area
1	18.841	7703304	49.57
2	21.013	7836290	50.43

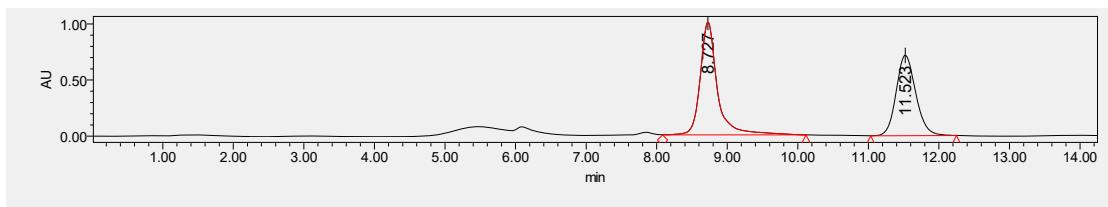


Entry	Retention Time	Area	% Area
1	18.369	49991201	98.52
2	21.527	753300	1.48

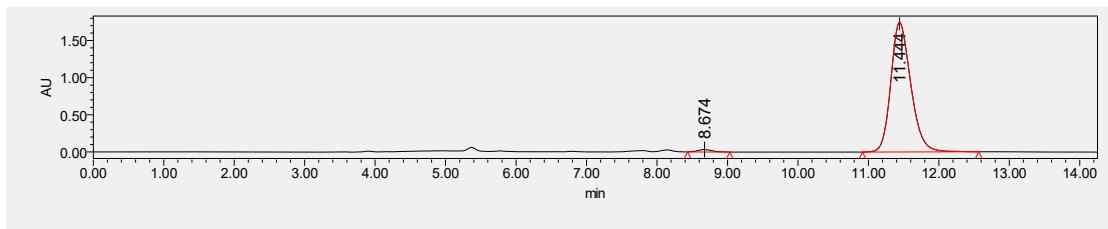
**(+)-1,3-bis(4-fluorophenyl)-2-(2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)propane-1,3-dione
(3qa)**



White solid in 99% yield (53.2 mg; petroleum ether : ethyl ether = 2 : 1); $[\alpha]^{18}_D = +18.0$ (c 1.00, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IB column ($i\text{PrOH}/\text{hexane} = 10/90$, 1.0 mL/min, 254 nm), t_f (minor) = 8.67 min, t_r (minor) = 11.46 min, 97% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (d, $J = 8.0$ Hz, 1H), 7.69 – 7.56 (m, 3H), 7.42 – 7.20 (m, 7H), 7.17 – 7.07 (m, 3H), 6.94 (t, $J = 8.4$ Hz, 2H), 6.89 – 6.64 (m, 2H), 6.05 (s, 1H), 5.23 (s, 1H), 4.97 – 4.74 (m, 1H), 3.98 (s, 3H), 3.38 (s, 1H), 3.02 (s, 1H).ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 194.9, 193.9, 165.8 (d, $J = 256.9$ Hz), 165.6 (d, $J = 255.8$ Hz), 146.5, 144.6, 143.2, 133.1, 131.3 (d, $J = 9.4$ Hz), 130.7 (d, $J = 9.4$ Hz), 129.5, 128.3, 127.7, 127.5, 126.0, 124.6, 123.9, 120.0, 115.7 (d, $J = 22.0$ Hz), 115.6 (d, $J = 22.0$ Hz), 105.6, 56.0, 56.0, 54.3, 39.0, 31.0, 29.7 ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{26}\text{F}_2\text{NaO}_4^+$ [M+Na] $^+$ 559.1691, Found 559.1690.

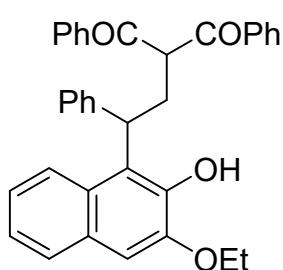


Entry	Retention Time	Area	% Area
1	8.727	16966430	55.18
2	11.523	13782984	44.82

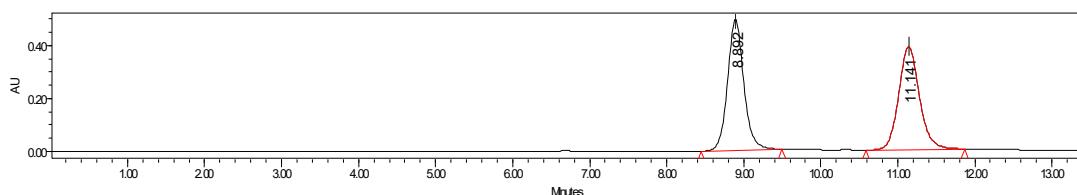


Entry	Retention Time	Area	% Area
1	8.674	445556	1.29
2	11.444	34219250	98.71

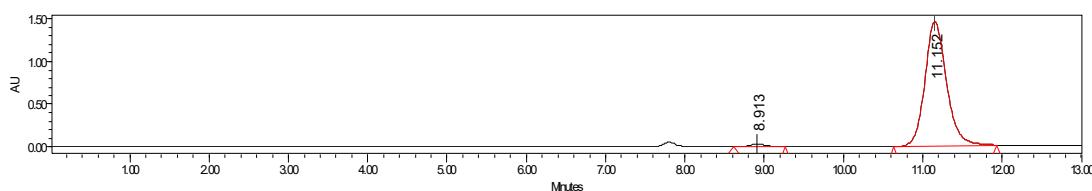
(+)-2-(2-(3-ethoxy-2-hydroxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3ab)



White solid in 93% yield (47.8 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{23}_D = +19.9$ (c 0.96, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 8.91 min, *t*_r (major) = 11.15 min, 97% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.74 – 7.57 (m, 4H), 7.47 – 7.31 (m, 6H), 7.28 – 7.20 (m, 5H), 7.19 – 6.99 (m, 5H), 6.07 (s, 1H), 5.39 – 5.14 (m, 1H), 4.99 (dd, *J* = 10.0, 2.8 Hz, 1H), 4.29 – 4.05 (m, 2H), 3.53 – 3.26 (m, 1H), 3.04 (s, 1H), 1.44 (t, *J* = 6.8 Hz, 3H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.6, 145.9, 144.7, 143.5, 136.8, 135.2, 133.2, 132.9, 129.5, 128.6, 128.5, 128.5, 128.2, 128.1, 127.6, 127.6, 125.8, 124.4, 123.8, 120.0, 106.1, 64.5, 54.2, 31.0, 14.7 ppm; EI-HRMS: Calcd for C₃₅H₃₀NaO₄⁺ [M+Na]⁺ 537.2036, Found 537.2036.

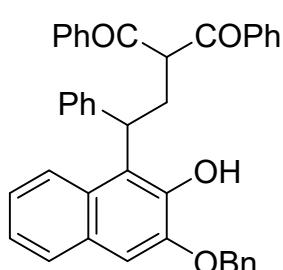


Entry	Retention Time	Area	% Area
1	8.892	7214961	49.85
2	11.141	7257505	50.15

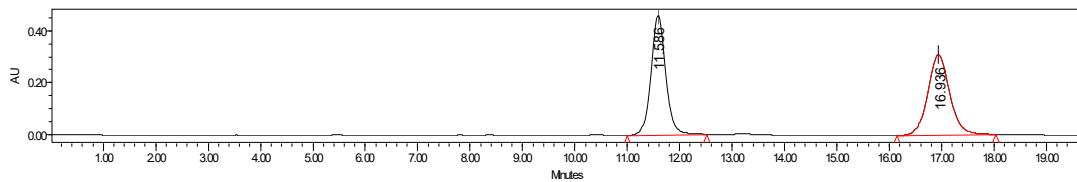


Entry	Retention Time	Area	% Area
1	8.913	469047	1.67
2	11.152	27549729	98.33

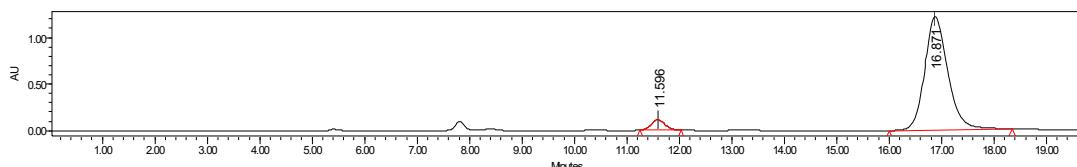
(+)-2-(2-(3-(benzyloxy)-2-hydroxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3ac)



White solid in 98% yield (56.4 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{24}_D = +35.1$ (c 1.11, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 11.60 min, *t*_r (major) = 16.87 min, 90% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.74 – 7.57 (m, 4H), 7.49 – 7.31 (m, 11H), 7.28 – 7.18 (m, 6H), 7.18 – 6.97 (m, 4H), 6.06 (s, 1H), 5.37 – 5.23 (m, 1H), 5.19 (d, *J* = 11.2 Hz, 1H), 5.13 (d, *J* = 11.2 Hz, 1H), 5.01 (dd, *J* = 9.6, 2.8 Hz, 1H), 3.50 – 3.28 (m, 1H), 3.04 (s, 1H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.5, 145.8, 144.6, 143.4, 136.8, 135.7, 135.2, 133.3, 132.9, 129.4, 128.8, 128.7, 128.6, 128.6, 128.5, 128.2, 128.1, 127.9, 127.7, 127.6, 125.9, 124.7, 123.9, 120.4, 106.8, 71.1, 54.3, 54.3, 31.0 ppm; EI-HRMS: Calcd for C₄₀H₃₂NaO₄⁺ [M+Na]⁺ 599.2193, Found 599.2195.

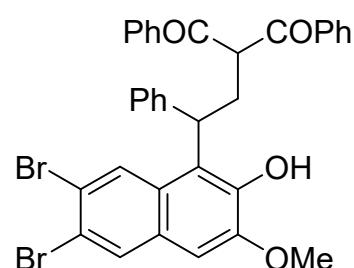


Entry	Retention Time	Area	% Area
1	11.586	9122220	50.09
2	16.936	9090506	49.91

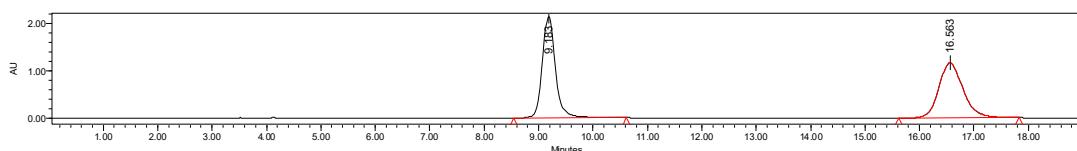


Entry	Retention Time	Area	% Area
1	11.596	2038940	5.18
2	16.871	37314942	94.82

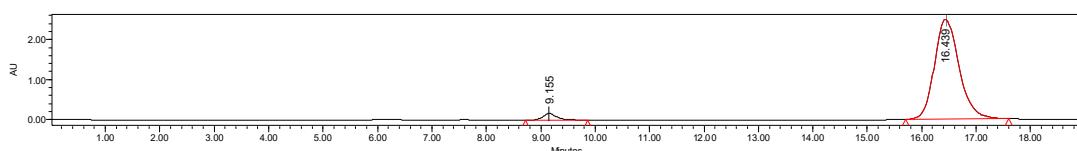
(+)-2-(2-(6,7-dibromo-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3ad)



White solid in 62% yield (40.8 mg; petroleum ether : ethyl acetate = 2.5 : 1); $[\alpha]^{22}_D = +55.2$ (c 0.52, CH₂Cl₂); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 9.16 min, *t*_r (major) = 16.44 min, 93% ee; ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, *J* = 5.2 Hz, 2H), 7.64 (d, *J* = 7.2 Hz, 2H), 7.55 – 7.39 (m, 4H), 7.34 – 7.19 (m, 8H), 7.15 (t, *J* = 7.2 Hz, 1H), 6.90 (s, 1H), 6.20 (s, 1H), 5.10 (s, 1H), 5.00 (dd, *J* = 9.2, 4.0 Hz, 1H), 3.90 (s, 3H), 3.39 – 3.25 (m, 1H), 3.09 (t, *J* = 9.6 Hz, 1H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 196.2, 195.6, 147.6, 145.4, 142.6, 136.3, 135.3, 133.5, 133.2, 131.5, 129.4, 128.7, 128.6, 128.5, 128.4, 128.2, 127.5, 126.3, 120.4, 119.6, 119.5, 104.3, 56.1, 54.3, 31.3 ppm; EI-HRMS: Calcd for C₃₄H₂₆^{78.9183}Br₂NaO₄⁺ [M+Na]⁺ 679.0090, Found 679.0078. C₃₄H₂₆^{78.9183}Br^{80.9163}Br₂NaO₄⁺ [M+Na]⁺ 681.0070, Found 681.0072. C₃₄H₂₆^{80.9163}Br₂NaO₄⁺ [M+Na]⁺ 683.0049, Found 683.0060.

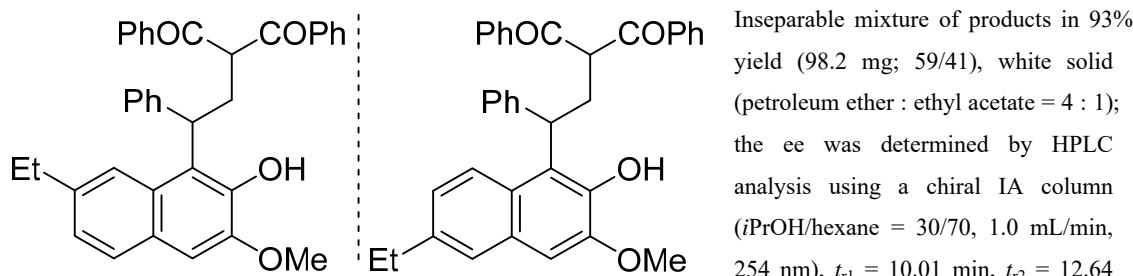


Entry	Retention Time	Area	% Area
1	9.183	36136598	49.96
2	16.563	36187474	50.04

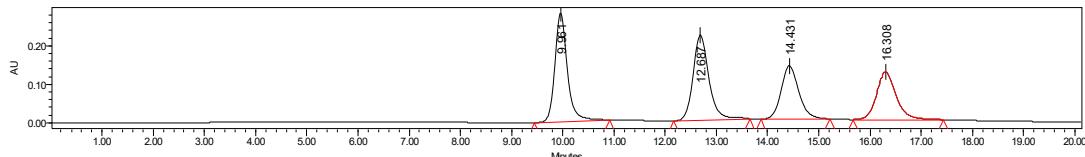


Entry	Retention Time	Area	% Area
1	9.155	2781686	3.41
2	16.439	78874541	96.59

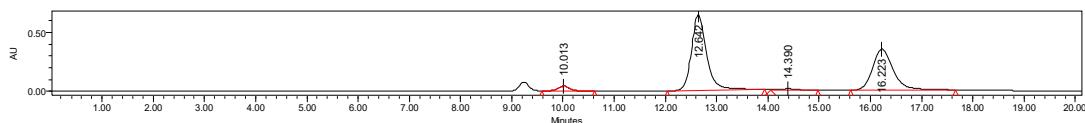
**2-(2-(7-ethyl-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione and
2-(2-(6-ethyl-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione
(3ae/3ae')**



min, 91% ee; $t_{r3} = 14.39$ min, $t_{r4} = 16.22$ min, 93% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.68 – 7.54 (m, 3H), 7.53 – 7.31 (m, 7H), 7.26 – 7.18 (m, 4H), 7.17 – 6.99 (m, 4H), 6.93 (d, $J = 8.6$ Hz, 0.43H, minor), 6.00 (s, 0.59H, major), 5.95 (s, 0.40H, minor), 5.25 (s, 1H), 5.01 (s, 0.43H, minor), 4.99 (s, 0.56H, major), 3.90 (s, 3H), 3.40 (s, 1H), 3.04 (s, 1H), 2.69 (q, $J = 7.6$ Hz, 0.83H, minor), 2.42 (q, $J = 7.6$ Hz, 1.17H, major), 1.25 (t, $J = 7.6$ Hz, 1.33H, minor), 0.90 (t, $J = 7.6$ Hz, 1.79H, major). ppm; ^{13}C NMR (101 MHz, CDCl_3) major δ 196.8, 146.1, 144.6, 143.6, 139.6, 136.8, 135.1, 132.9, 128.7, 128.6, 128.6, 128.5, 128.2, 128.1, 128.1, 127.6, 125.8, 124.9, 119.1, 105.5, 56.0, 55.9, 54.2, 30.7, 29.0, 15.2. minor δ = 196.7, 146.7, 144.0, 143.6, 139.6, 136.9, 135.1, 133.3, 128.7, 128.6, 128.6, 128.5, 128.2, 128.1, 128.1, 127.7, 125.8, 124.9, 120.0, 105.3, 56.0, 56.0, 54.2, 31.0, 28.7, 15.7. ppm; EI-HRMS: Calcd for $\text{C}_{36}\text{H}_{32}\text{NaO}_4^+ [\text{M}+\text{Na}]^+$ 551.2193, Found 551.2197.

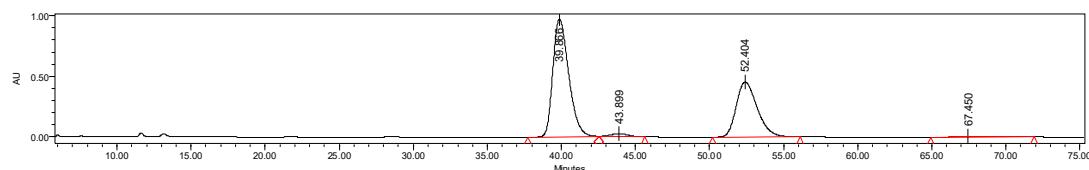
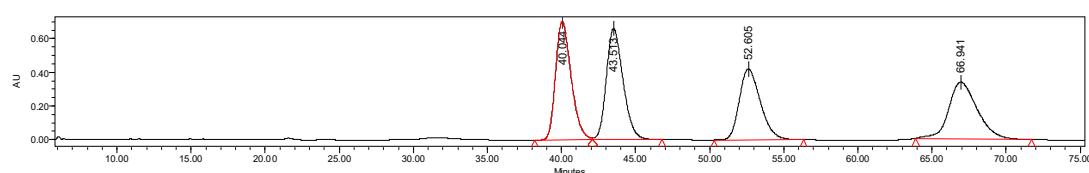
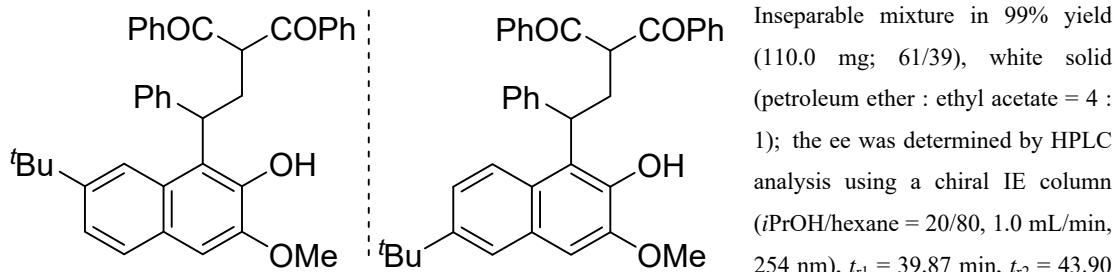


Entry	Retention Time	Area	% Area
1	9.961	4722097	29.28
2	12.687	4734980	29.36
3	14.431	3303348	20.48
4	16.308	3365348	20.87

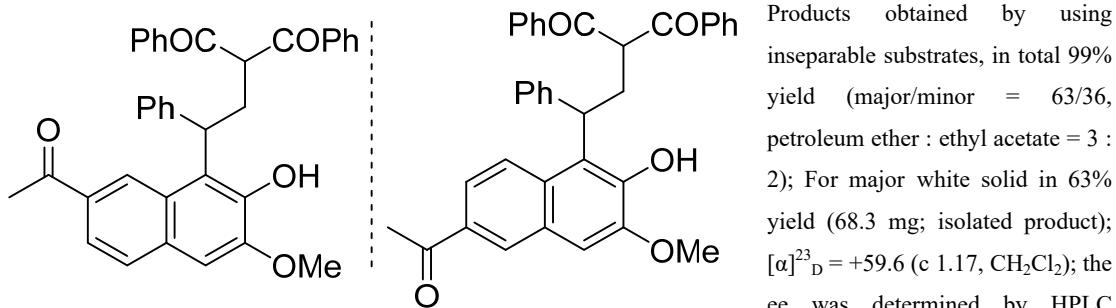


Entry	Retention Time	Area	% Area
1	10.013	673862	2.76
2	12.642	13668472	55.98
3	14.390	334016	1.37
4	16.223	9742296	39.90

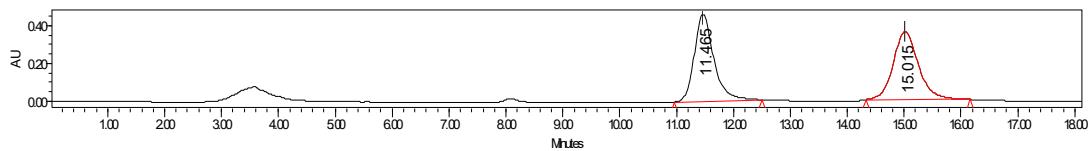
2-(2-(7-(tert-butyl)-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione and 2-(2-(6-(tert-butyl)-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3af/3af')



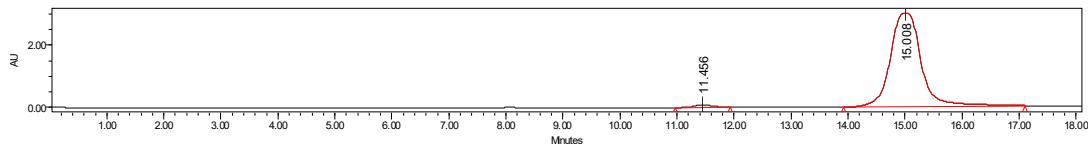
**2-(2-(7-acetyl-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione and
2-(2-(6-acetyl-2-hydroxy-3-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione
(3ag/3ag')**



analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), $t_{r1} = 11.47$ min, $t_{r2} = 15.01$ min, 97% ee; $t_{r3} = 14.39$ min, $t_{r4} = 16.22$ min, 93% ee; ^1H NMR (400 MHz, CDCl_3) δ 8.34 (s, 1H), 7.72 (d, $J = 8.6$ Hz, 1H), 7.69 – 7.59 (m, 3H), 7.52 – 7.31 (m, 6H), 7.30 – 7.18 (m, 5H), 7.18 – 7.00 (m, 3H), 6.36 (s, 1H), 5.26 (s, 1H), 5.03 (dd, $J = 9.2, 3.6$ Hz, 1H), 3.96 (s, 3H), 3.49 – 3.28 (m, 1H), 3.08 (s, 1H), 2.61 (s, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 198.0, 196.2, 147.2, 146.7, 143.0, 136.5, 135.2, 133.4, 133.1, 132.4, 131.1, 129.4, 128.6, 128.5, 128.3, 128.2, 127.5, 126.1, 123.0, 120.6, 106.9, 56.1, 56.1, 54.3, 31.1, 26.7 ppm; EI-HRMS: Calcd for $\text{C}_{36}\text{H}_{30}\text{NaO}_5^+ [\text{M}+\text{Na}]^+$ 565.1985, Found 565.1983.



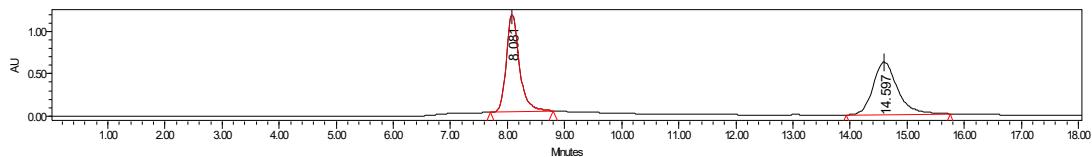
Entry	Retention Time	Area	% Area
1	11.465	10986541	49.74
2	15.015	11102143	50.26



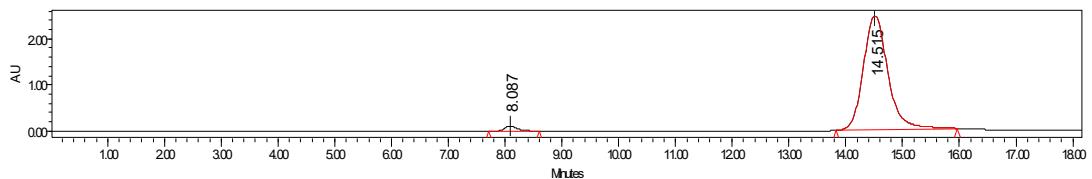
Entry	Retention Time	Area	% Area
1	11.456	1745375	1.53
2	15.008	112566355	98.47

For minor, white solid in 36% yield (39.0 mg; isolated product); $[\alpha]^{23}_D = +81.6$ (c 0.64, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), $t_{r1} = 8.09$ min, $t_{r2} = 14.52$ min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 8.27 (s, 1H), 7.84 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.73 (d, $J = 8.6$ Hz, 1H), 7.66 (d, $J = 7.6$ Hz, 2H), 7.49 – 7.33 (m, 6H), 7.29 (d, $J = 8.4$ Hz, 2H), 7.24 (d, $J = 7.6$ Hz, 2H), 7.21 – 7.15 (m, 1H), 7.15 – 7.05 (m, 3H), 6.14 (d, $J = 1.2$ Hz, 1H), 5.35 (d, $J = 6.4$ Hz, 1H), 5.03 (dd, $J = 9.6, 3.6$ Hz, 1H), 3.99 (s, 3H), 3.52 – 3.33 (m, 1H), 3.04 (t, $J = 11.6$ Hz, 1H), 2.25 (s, 3H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 198.0, 196.2, 148.5, 145.1, 143.2, 136.5, 135.1, 133.4, 133.1, 133.0, 132.2, 128.6, 128.5, 128.4, 128.1,

128.0, 127.4, 126.2, 122.0, 121.8, 105.3, 56.1, 56.1, 54.1, 31.2, 26.2 ppm; EI-HRMS: Calcd for $C_{36}H_{30}NaO_5^+$ $[M+Na]^+$ 565.1985, Found 565.1987.



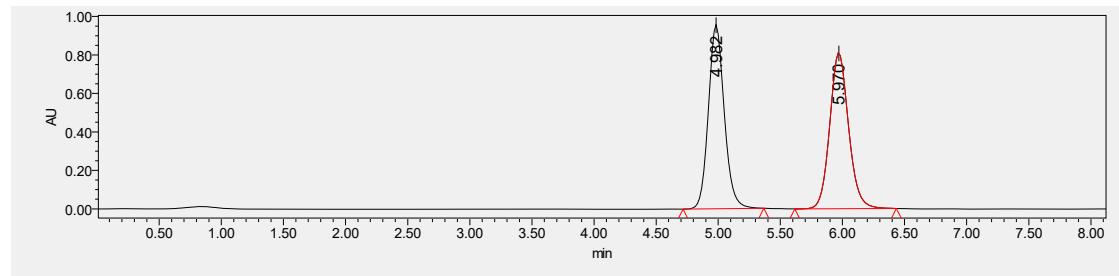
Entry	Retention Time	Area	% Area
1	8.081	18425832	50.14
2	14.597	18324383	49.86



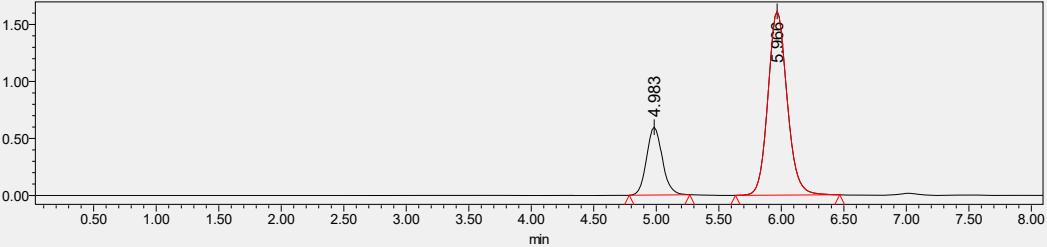
Entry	Retention Time	Area	% Area
1	8.087	1696750	2.23
2	14.515	74341302	97.77

(+)-2-(2-(2-hydroxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3ah)

White solid in 89% yield (41.8mg; petroleum ether : ethyl acetate = 5 : 1); $[\alpha]^{21}_D = +11.4(c\ 0.90, \text{CH}_2\text{Cl}_2)$; the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_f (minor) = 4.98 min, t_r (major) = 5.97 min, 53% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.76 (d, $J = 8.0$ Hz, 1H), 7.73 – 7.62 (m, 2H), 7.53 (d, $J = 6.8$ Hz, 2H), 7.46 – 7.28 (m, 6H), 7.28 – 6.88 (m, 10H), 5.77 (s, 1H), 5.27 (d, $J = 8.8$ Hz, 1H), 5.11 – 4.84 (m, 1H), 3.58 – 3.19 (m, 1H), 2.89 (t, $J = 12.0$ Hz, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.9, 196.1, 152.5, 142.8, 136.5, 134.8, 133.5, 133.0, 129.8, 129.6, 128.9, 128.7, 128.7, 128.7, 128.5, 128.1, 127.4, 126.9, 126.5, 123.4, 120.8, 118.7, 54.1, 38.5, 30.5. ppm; EI-HRMS: Calcd for $C_{33}H_{26}NaO_3^+$ $[M+Na]^+$ 493.1774, Found 493.1774.

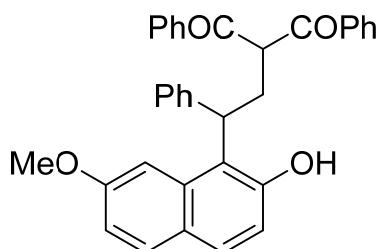


Entry	Retention Time	Area	% Area
1	4.982	8510523	49.87
2	5.970	8556146	50.13

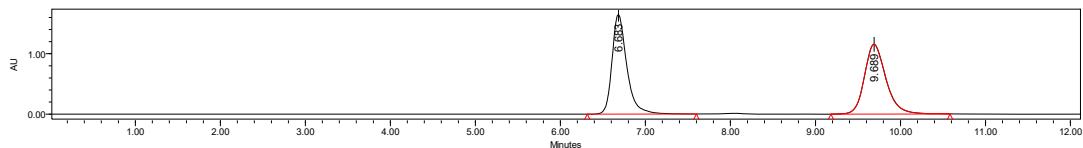


Entry	Retention Time	Area	% Area
1	4.983	5214919	23.32
2	5.966	17150279	76.68

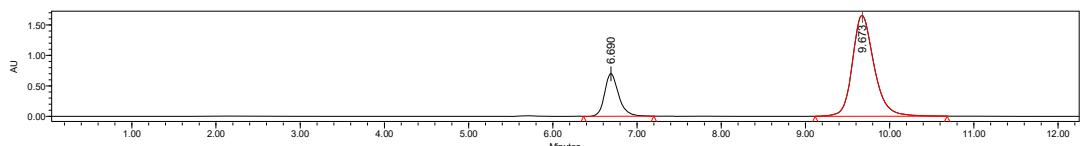
(+)-2-(2-hydroxy-7-methoxynaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3ai)



White solid in 94% yield (47.0 mg; petroleum ether : ethyl acetate = 4 : 1); $[\alpha]^{22}_D = +21.7$ (c 1.57, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 20/80$, 1.0 mL/min, 254 nm), t_r (minor) = 6.69 min, t_r (major) = 9.67 min, 57% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.66 (t, $J = 8.4$ Hz, 2H), 7.55 (d, $J = 6.8$ Hz, 2H), 7.48 – 7.32 (m, 6H), 7.32 – 7.10 (m, 7H), 7.05 – 6.78 (m, 3H), 5.42 (s, 1H), 5.31 – 5.11 (m, 1H), 4.99 (d, $J = 9.2$ Hz, 1H), 3.56 – 3.20 (m, 4H), 2.83 (t, $J = 12.4$ Hz, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 197.2, 196.3, 158.3, 153.2, 143.1, 136.6, 134.7, 133.6, 133.2, 130.4, 129.3, 128.7, 128.6, 128.1, 127.4, 126.4, 125.1, 119.9, 115.9, 54.8, 54.0, 38.4, 30.1 ppm; EI-HRMS: Calcd for $\text{C}_{34}\text{H}_{28}\text{NaO}_4^+$ [M+Na]⁺ 523.1880, Found 523.1880.

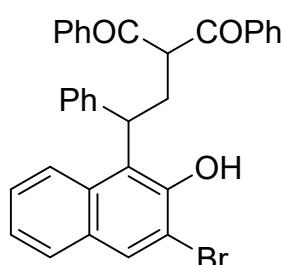


Entry	Retention Time	Area	% Area
1	6.683	19869059	49.67
2	9.689	20131631	50.33



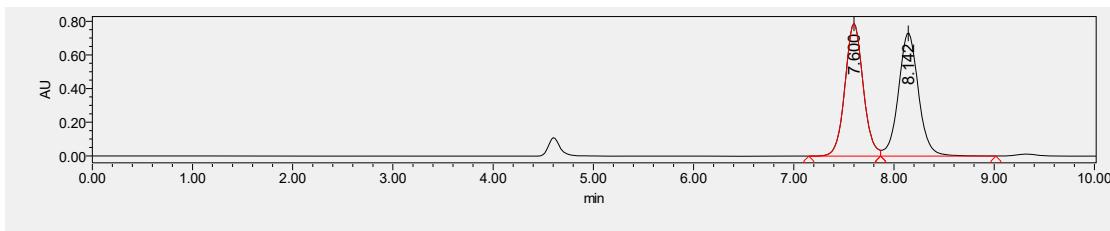
Entry	Retention Time	Area	% Area
1	6.690	8105568	21.56
2	9.673	29497899	78.44

(+)-2-(2-hydroxy-3-bromonaphthalen-1-yl)-2-phenylethyl)-1,3-diphenylpropane-1,3-dione (3aj)

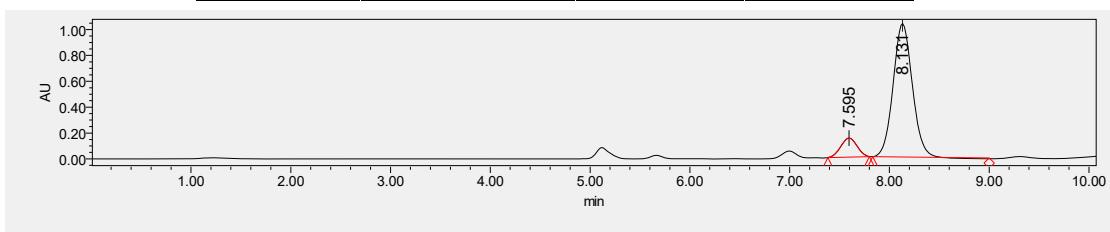


White solid in 90% yield (49.4 mg; petroleum ether : ethyl acetate = 5 : 1); $[\alpha]^{23.1}_D = +2.6$ (c 1.00, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column ($i\text{PrOH}/\text{hexane} = 30/70$, 1.0 mL/min, 254 nm), t_r (minor) = 7.60 min, t_r (major) = 8.13 min, 78% ee; ^1H NMR (400 MHz, CDCl_3) δ 8.03 (s, 1H), 7.80 – 7.66 (m, 2H), 7.65 – 7.54 (m, 2H), 7.48 – 7.39 (m, 3H), 7.38 – 7.30 (m, 3H), 7.30 – 7.08 (m, 9H), 5.68 (s, 1H), 5.32 (s, 1H), 4.92 (dd, $J = 10.0, 2.8$ Hz, 1H), 3.54 –

3.29 (m, 1H), 3.13 – 2.88 (m, 1H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 196.4, 147.9, 142.9, 136.6, 135.0, 133.5, 133.1, 131.2, 130.1, 129.0, 128.9, 128.8, 128.6, 128.5, 128.4, 128.0, 127.5, 127.3, 126.2, 124.5, 122.6, 113.2, 54.1, 39.8, 30.6 ppm; EI-HRMS: Calcd for $\text{C}_{33}\text{H}_{25}^{78,91} \text{BrNaO}_3^+ [\text{M}+\text{Na}]^+$ 571.0879, Found 571.0877; $\text{C}_{33}\text{H}_{25}^{80,91} \text{BrNaO}_3^+ [\text{M}+\text{Na}]^+$ 573.0859, Found 573.0878.



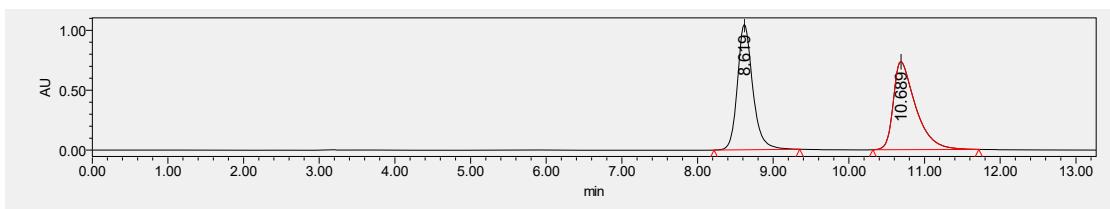
Entry	Retention Time	Area	% Area
1	7.600	9925278	49.30
2	8.142	10205214	50.70



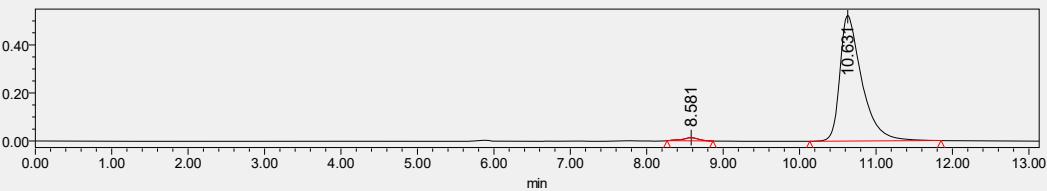
Entry	Retention Time	Area	% Area
1	7.595	1659984	10.65
2	8.131	13932890	89.35

(+)-4-(2-hydroxy-3-methoxynaphthalen-1-yl)-1,4-diphenylbutan-1-one (4)

White solid in 99% yield (286.3 mg, 0.72 mmol; petroleum ether : ethyl acetate = 3 : 1); $[\alpha]^{22}_D = +135.1$ (*c* 0.80, CH_2Cl_2); the ee was determined by HPLC analysis using a chiral IA column (*iPrOH/hexane* = 30/70, 1.0 mL/min, 254 nm), t_r (minor) = 8.58 min, t_r (major) = 10.63 min, 96% ee; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (s, 1H), 7.76 (d, J = 7.2 Hz, 2H), 7.70 – 7.63 (m, 1H), 7.47 (t, J = 7.2 Hz, 1H), 7.41 (d, J = 7.6 Hz, 2H), 7.34 (t, J = 7.6 Hz, 2H), 7.29 – 7.21 (m, 4H), 7.14 (t, J = 7.2 Hz, 1H), 7.06 (s, 1H), 6.20 (d, J = 0.8 Hz, 1H), 5.14 (s, 1H), 4.01 (s, 3H), 3.08 – 2.70 (m, 4H). ppm; ^{13}C NMR (101 MHz, CDCl_3) δ 200.5, 146.7, 144.2, 144.1, 137.0, 132.7, 129.4, 128.4, 128.1, 128.0, 127.7, 127.5, 125.7, 124.2, 123.5, 121.0, 105.1, 55.9, 55.9, 37.2, 26.6 ppm; EI-HRMS: Calcd for $\text{C}_{27}\text{H}_{24}\text{NaO}_3^+ [\text{M}+\text{Na}]^+$ 419.1618, Found 419.1620.



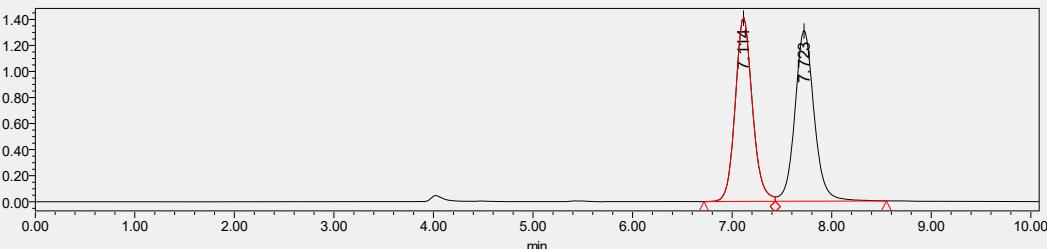
Entry	Retention Time	Area	% Area
1	8.619	14755061	49.58
2	10.689	15005133	50.42



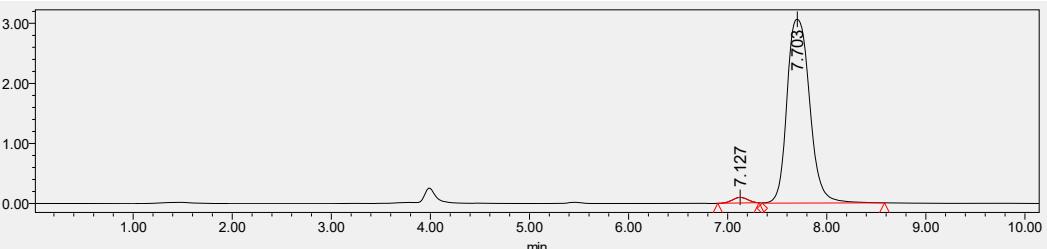
Entry	Retention Time	Area	% Area
1	8.581	195075	1.84
2	10.631	10400812	98.16

(+)-4-(2-hydroxy-3-methoxynaphthalen-1-yl)-1,4-diphenylbutan-1-one oxime (5)

White solid in 96% yield (78.9 mg, 0.19 mmol; petroleum ether : ethyl acetate = 4 : 1); the ee was determined by HPLC analysis using a chiral IA column (*i*PrOH/hexane = 30/70, 1.0 mL/min, 254 nm), *t*_r (minor) = 7.13 min, *t*_r (major) = 7.70 min, 96% ee; ¹H NMR (400 MHz, CDCl₃) δ 9.44 (s, 1H), 7.86 (s, 1H), 7.67 – 7.63 (m, 1H), 7.41 – 7.31 (m, 4H), 7.28 – 7.15 (m, 7H), 7.12 – 7.06 (m, 1H), 7.02 (s, 1H), 6.26 (s, 1H), 5.14 (s, 1H), 3.90 (s, 3H), 3.12 – 2.95 (m, 1H), 2.82 – 2.63 (m, 2H), 2.44 (s, 1H). ppm; ¹³C NMR (101 MHz, CDCl₃) δ 159.6, 149.6, 146.8, 144.3, 144.2, 135.6, 129.5, 129.1, 128.5, 128.2, 128.0, 127.8, 127.6, 126.3, 125.8, 124.2, 124.0, 123.6, 121.2, 105.1, 55.9, 55.9, 28.7, 25.2. ppm; EI-HRMS: Calcd for C₂₇H₂₅NNaO₃⁺ [M+Na]⁺ 434.1727, Found 434.1725.

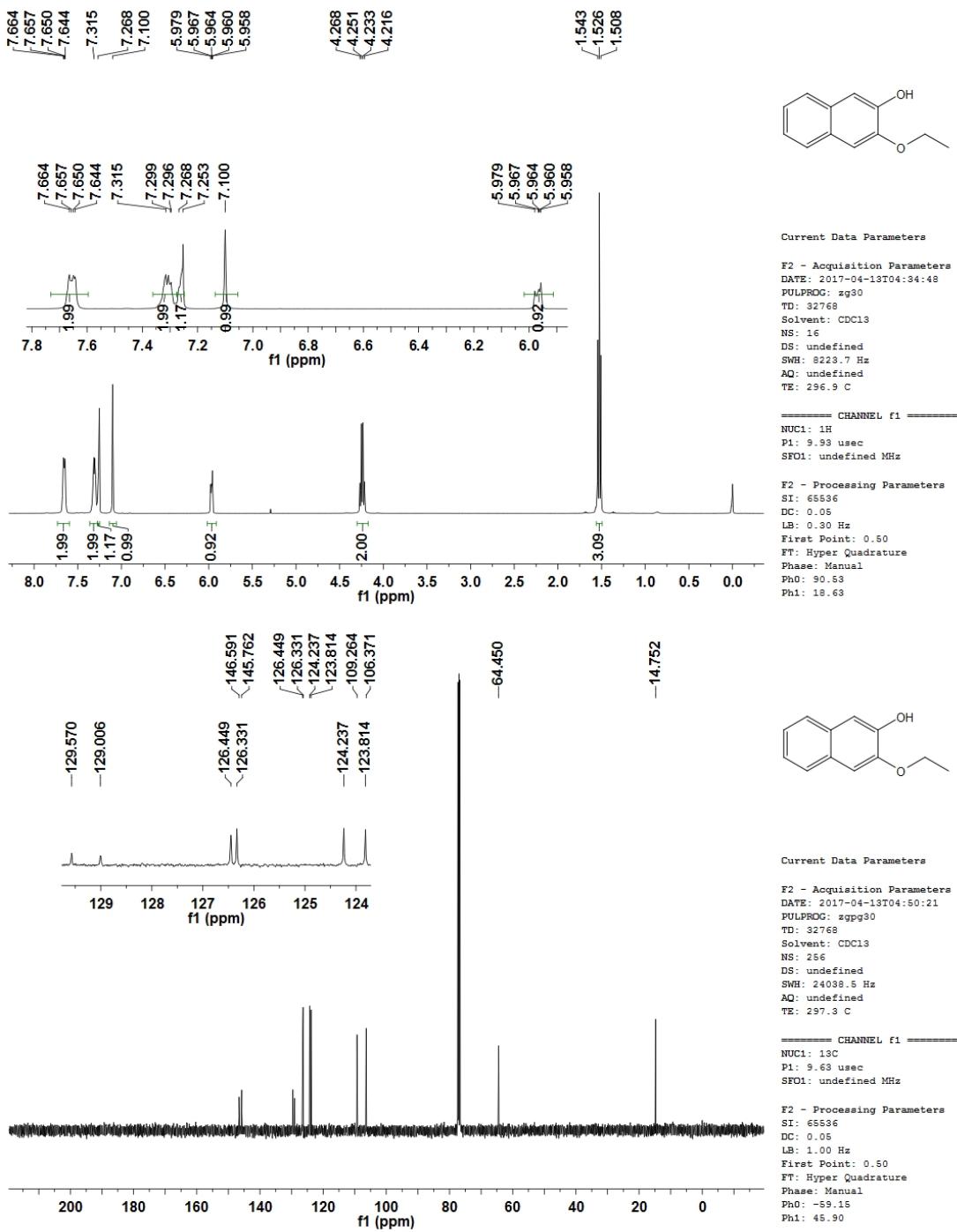


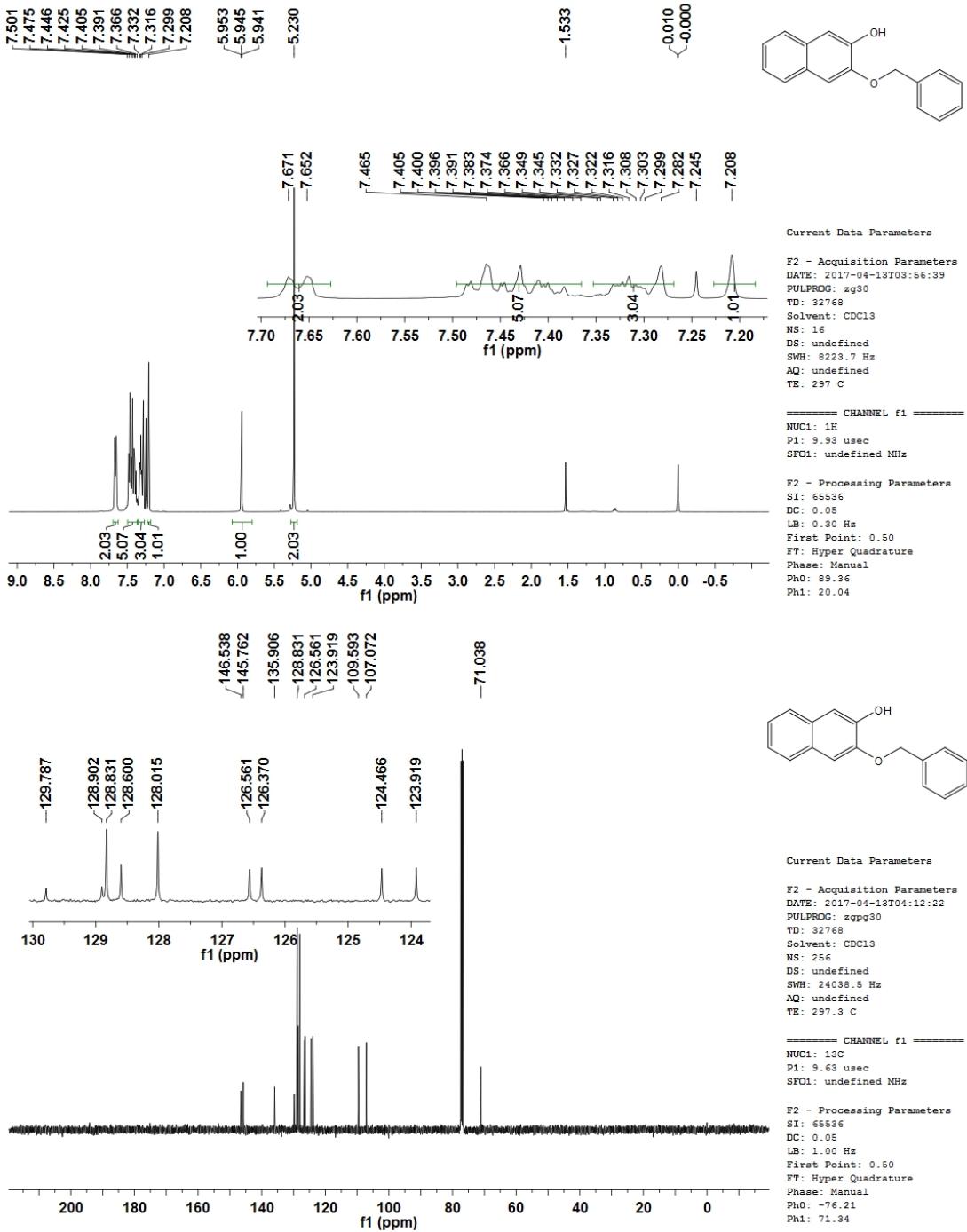
Entry	Retention Time	Area	% Area
1	7.114	16979983	49.14
2	7.723	17576828	50.86

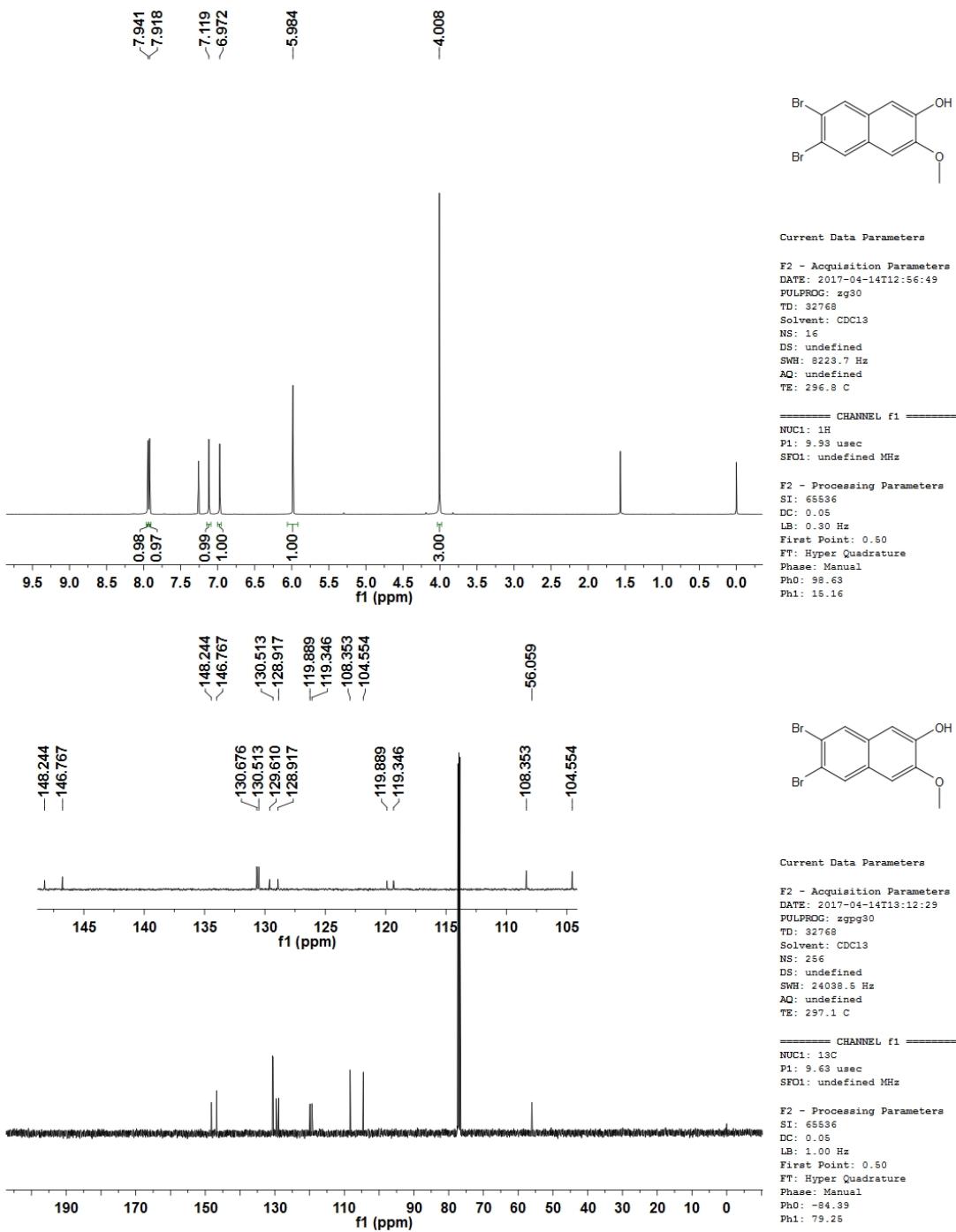


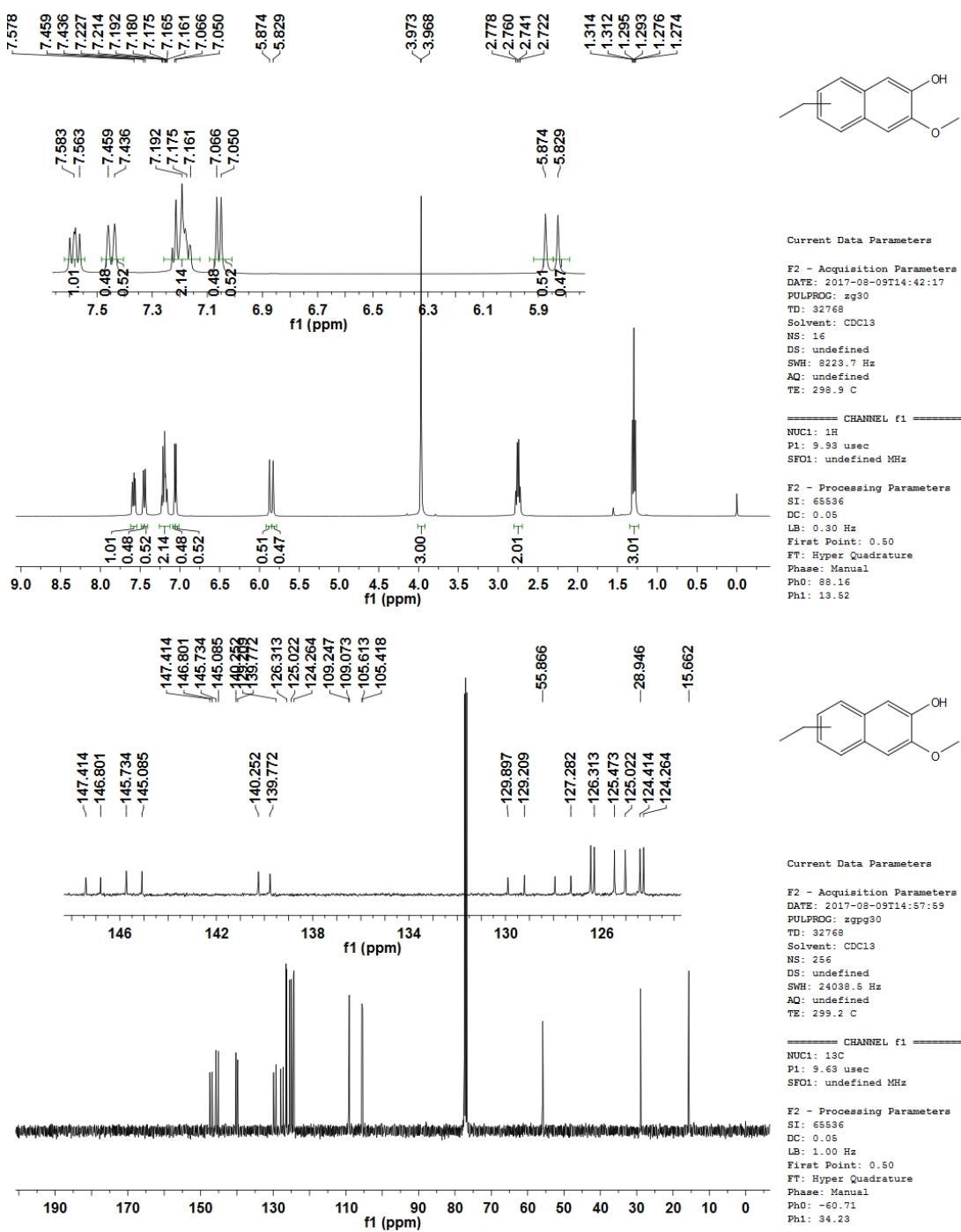
Entry	Retention Time	Area	% Area
1	7.127	1033927	2.08
2	7.703	48776619	97.92

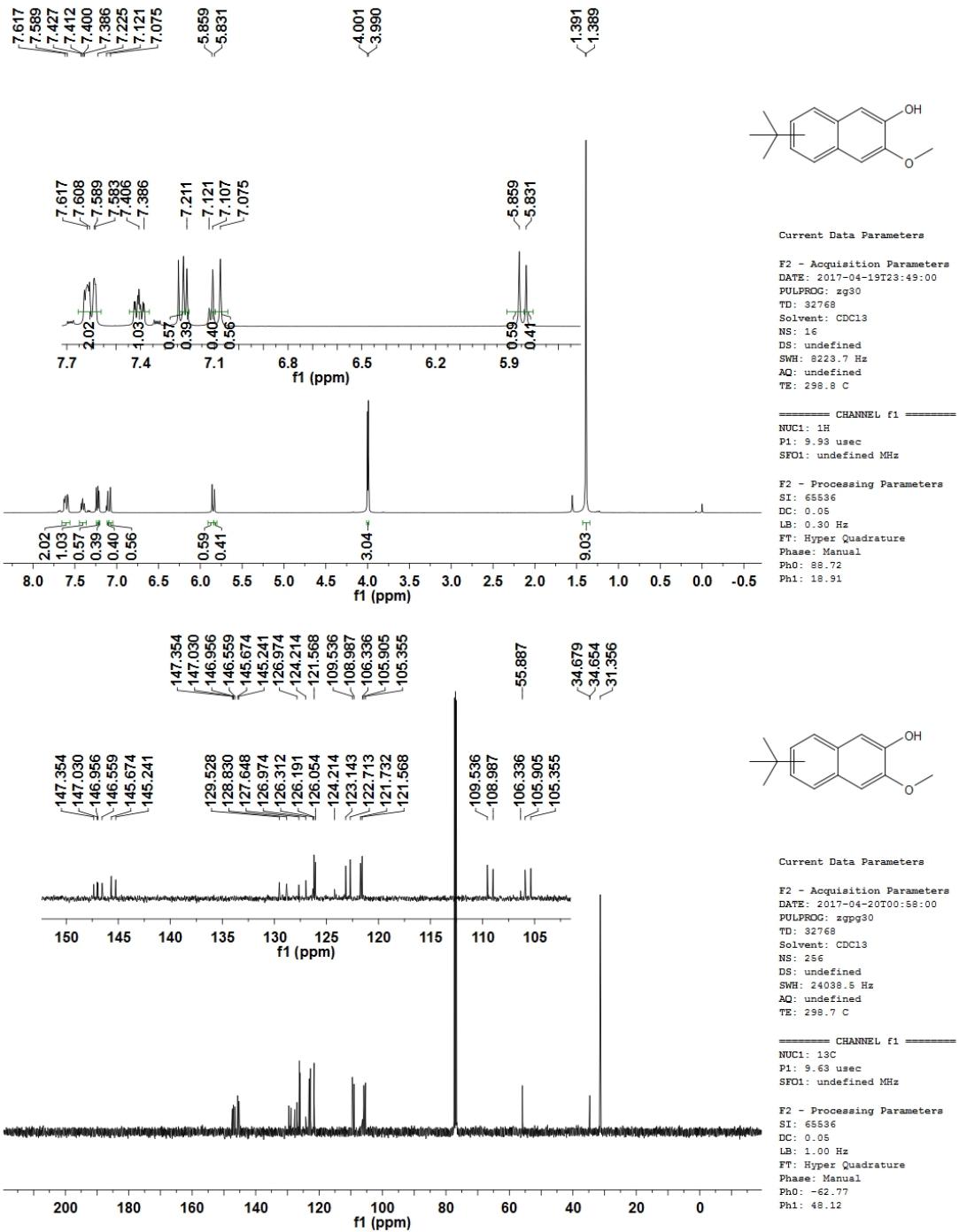
(J) Copies of NMR spectra for product

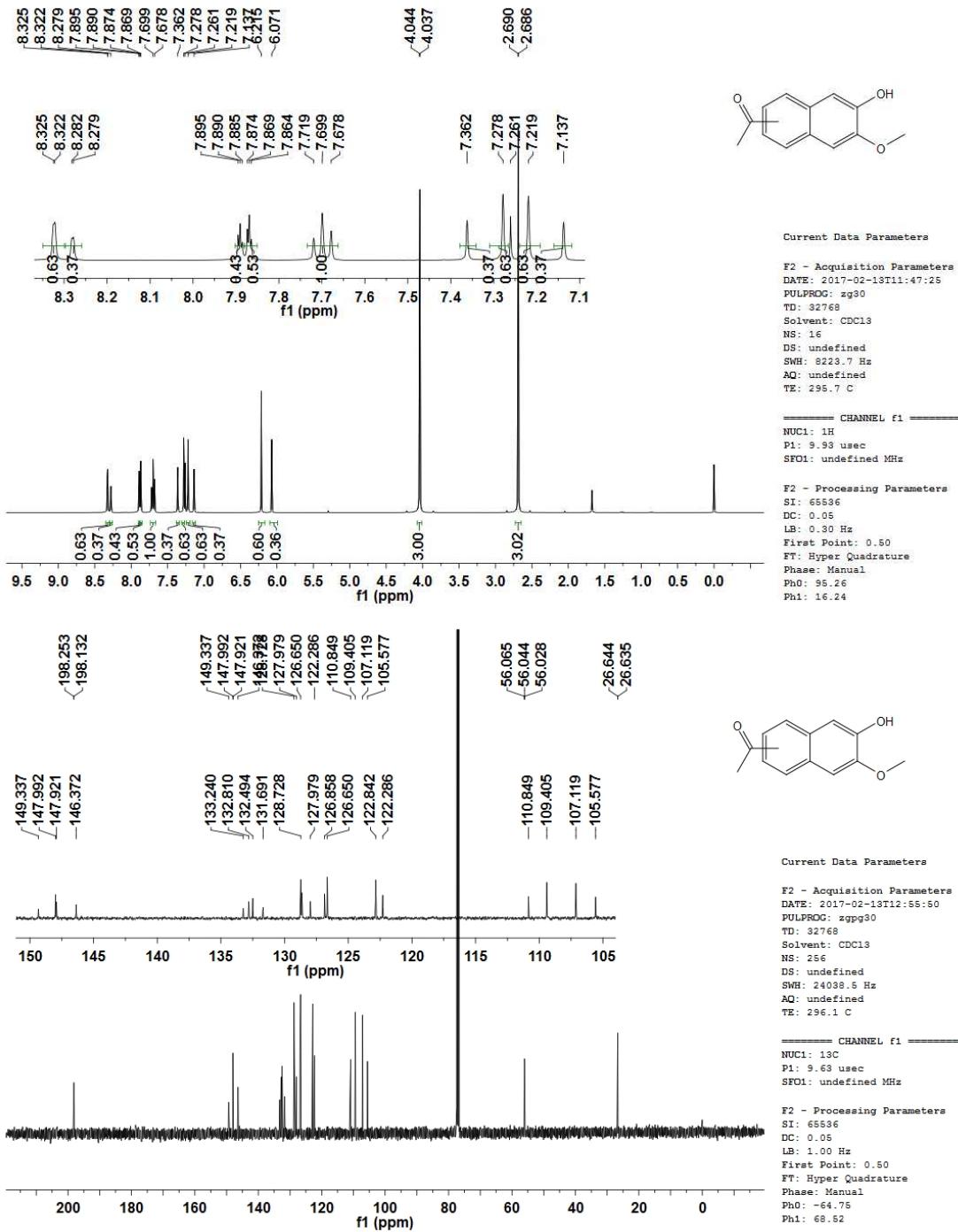


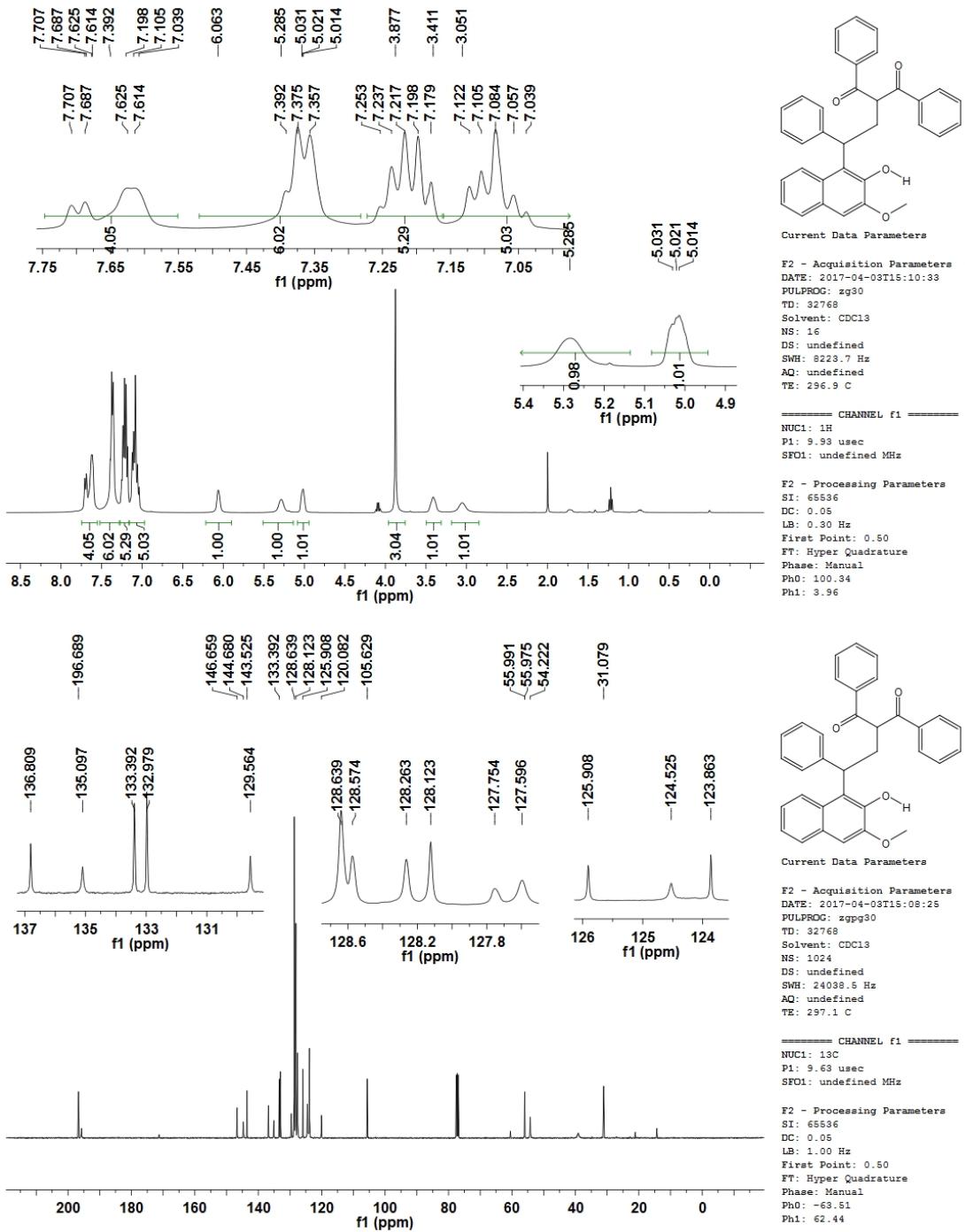


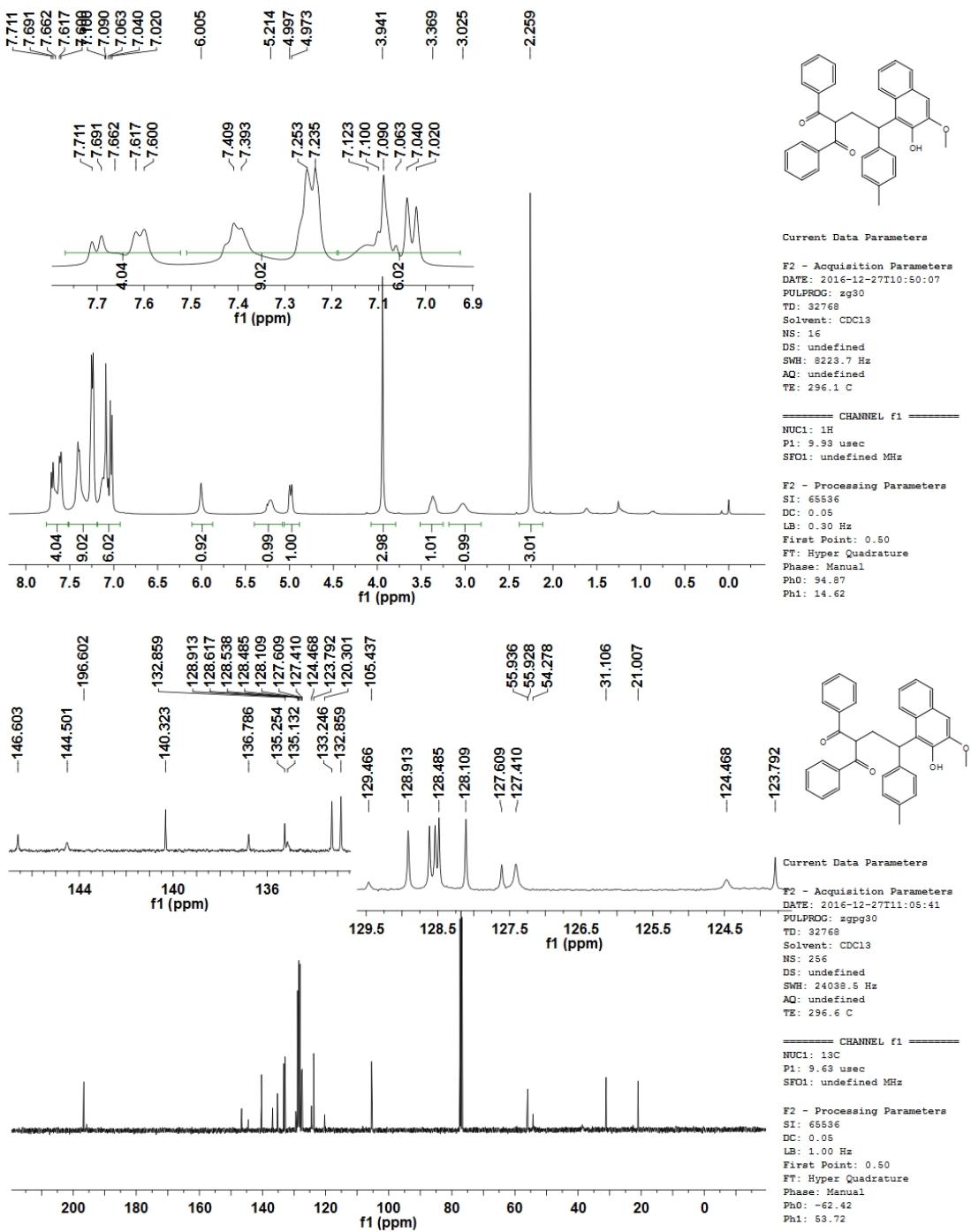


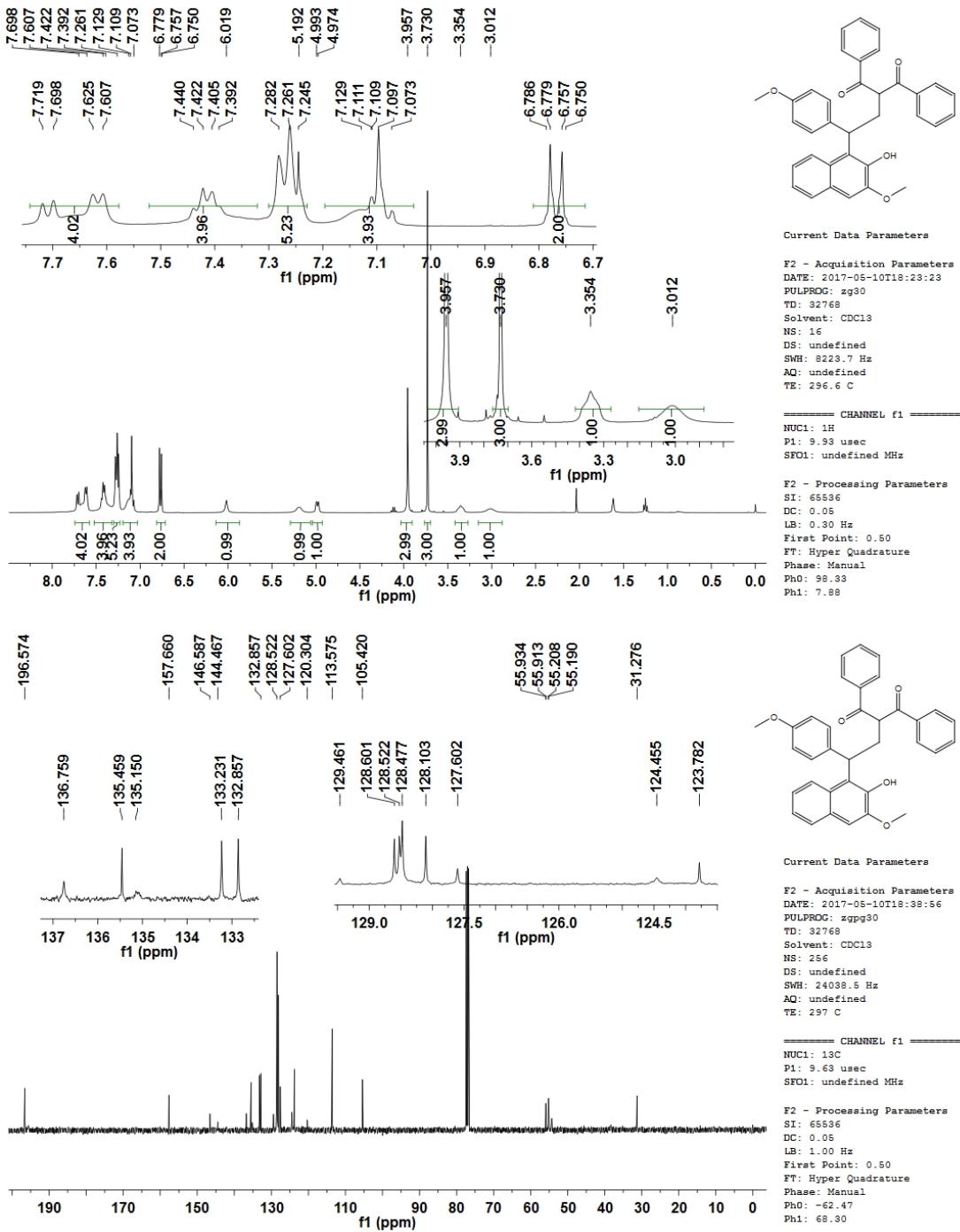


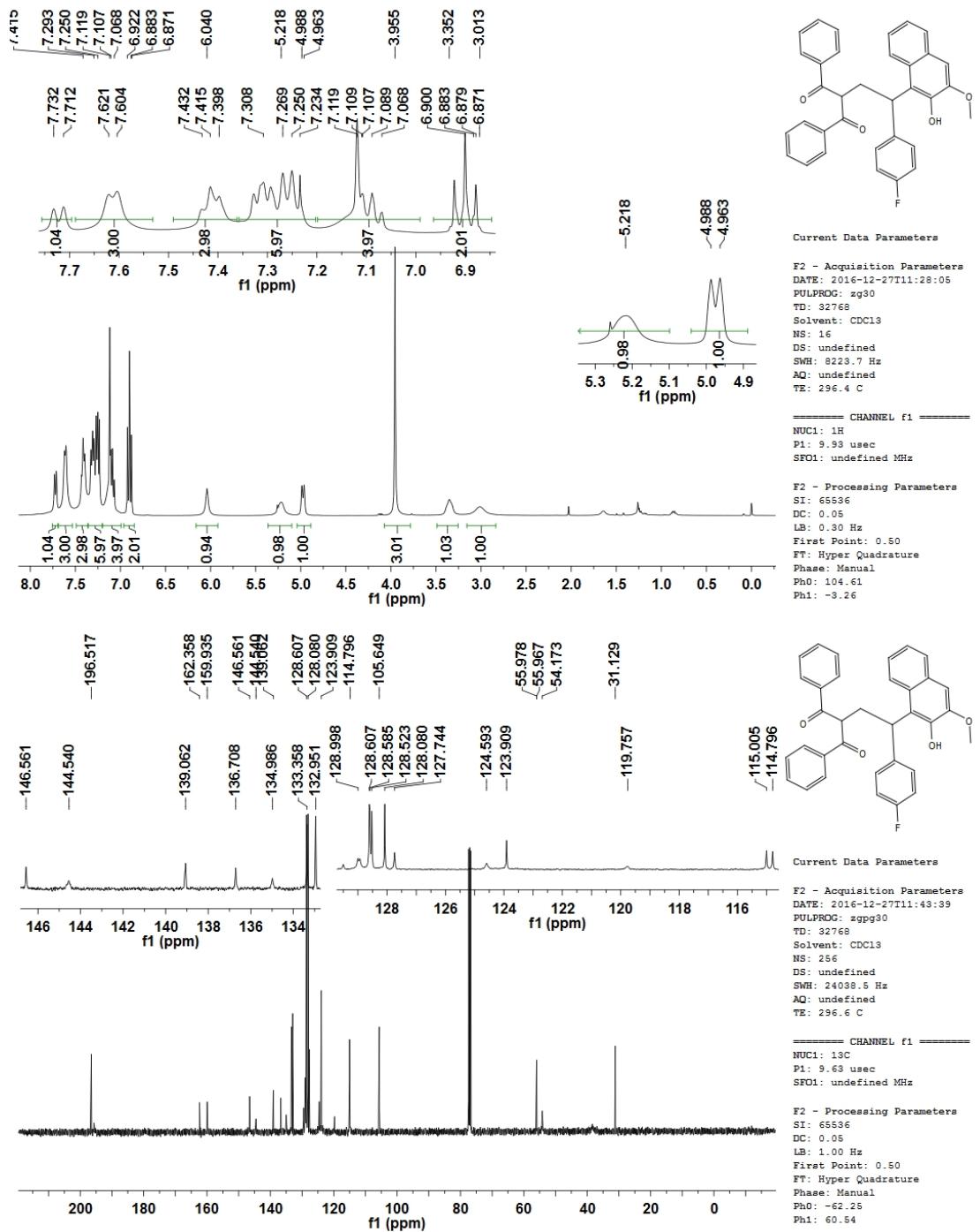


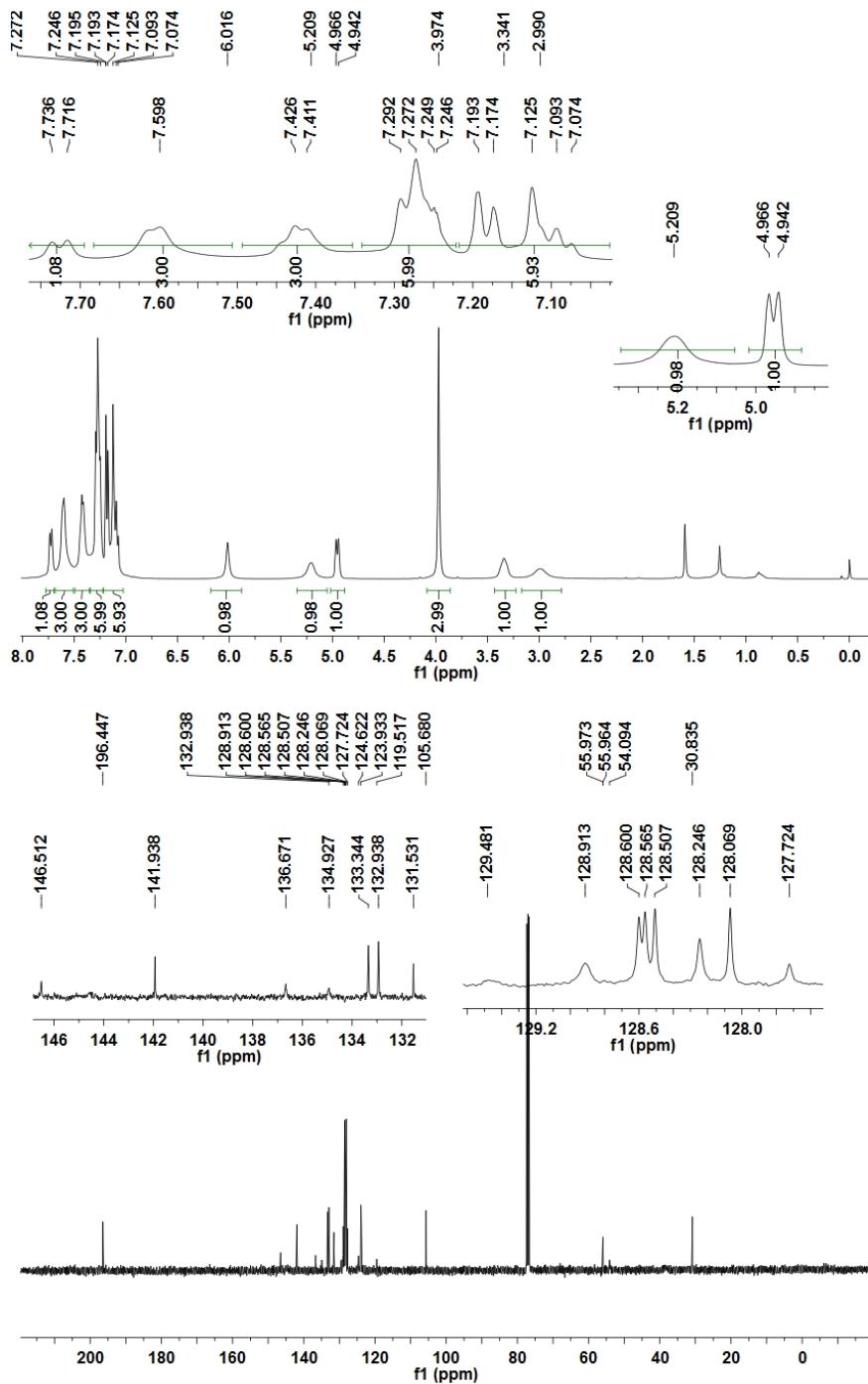


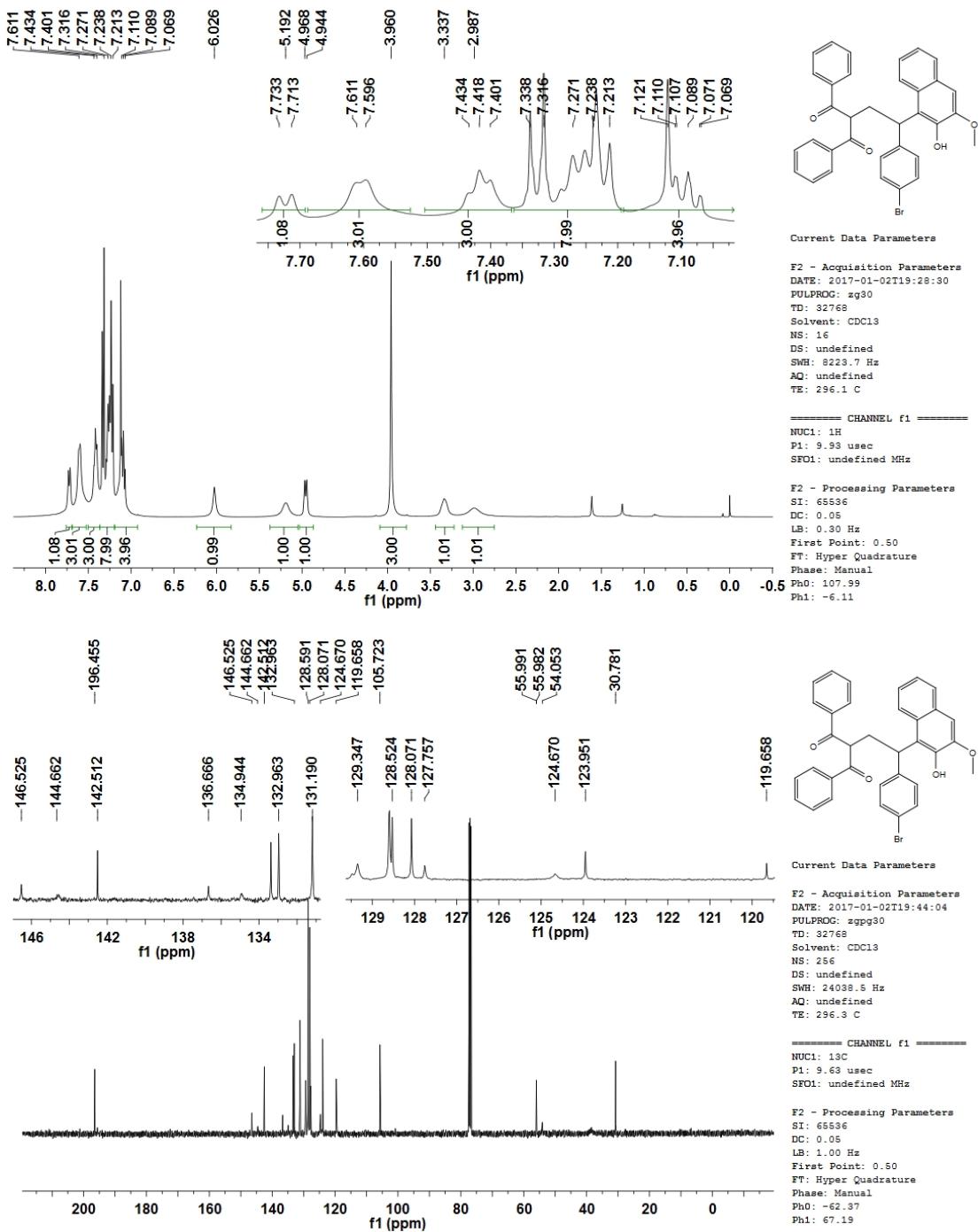


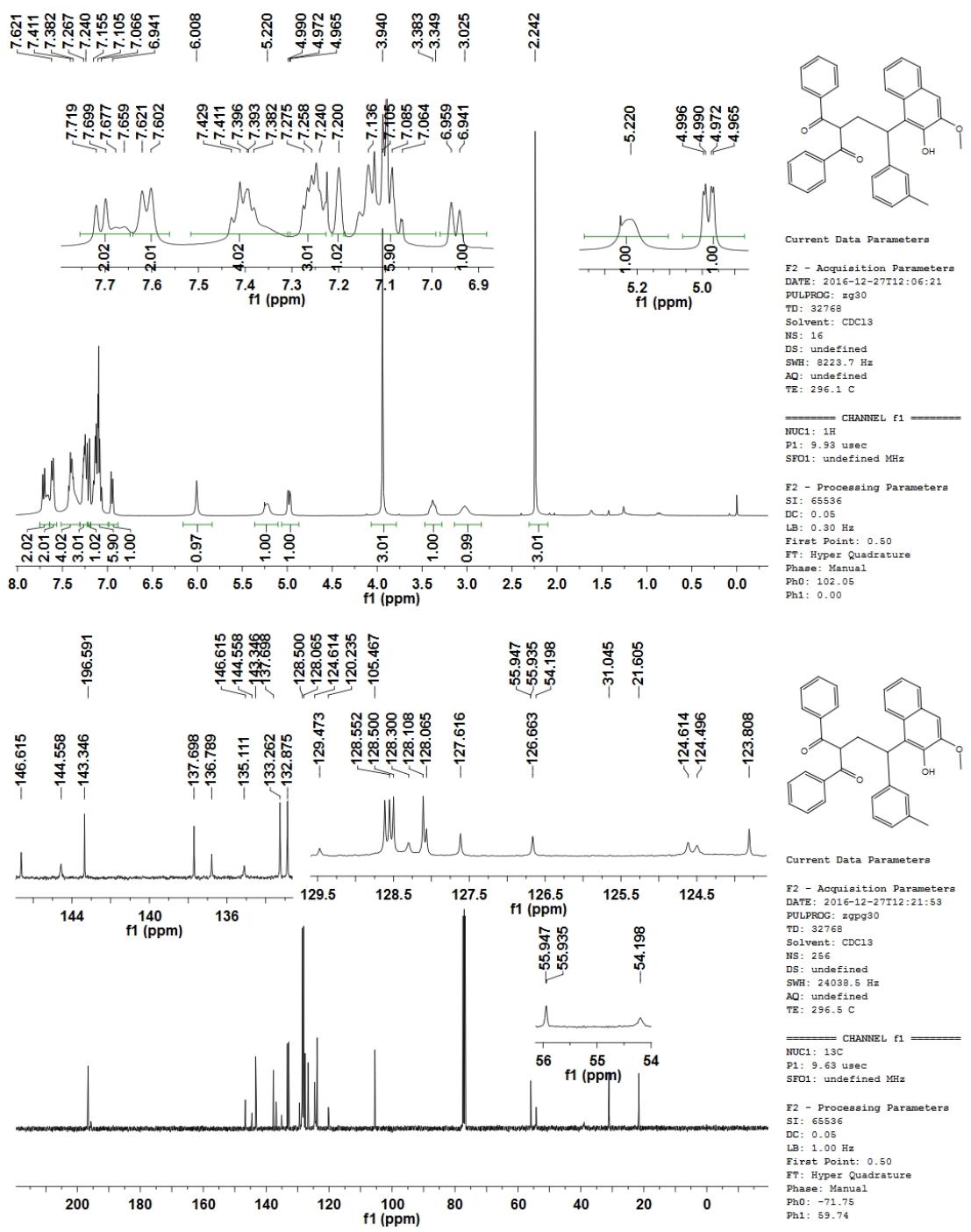


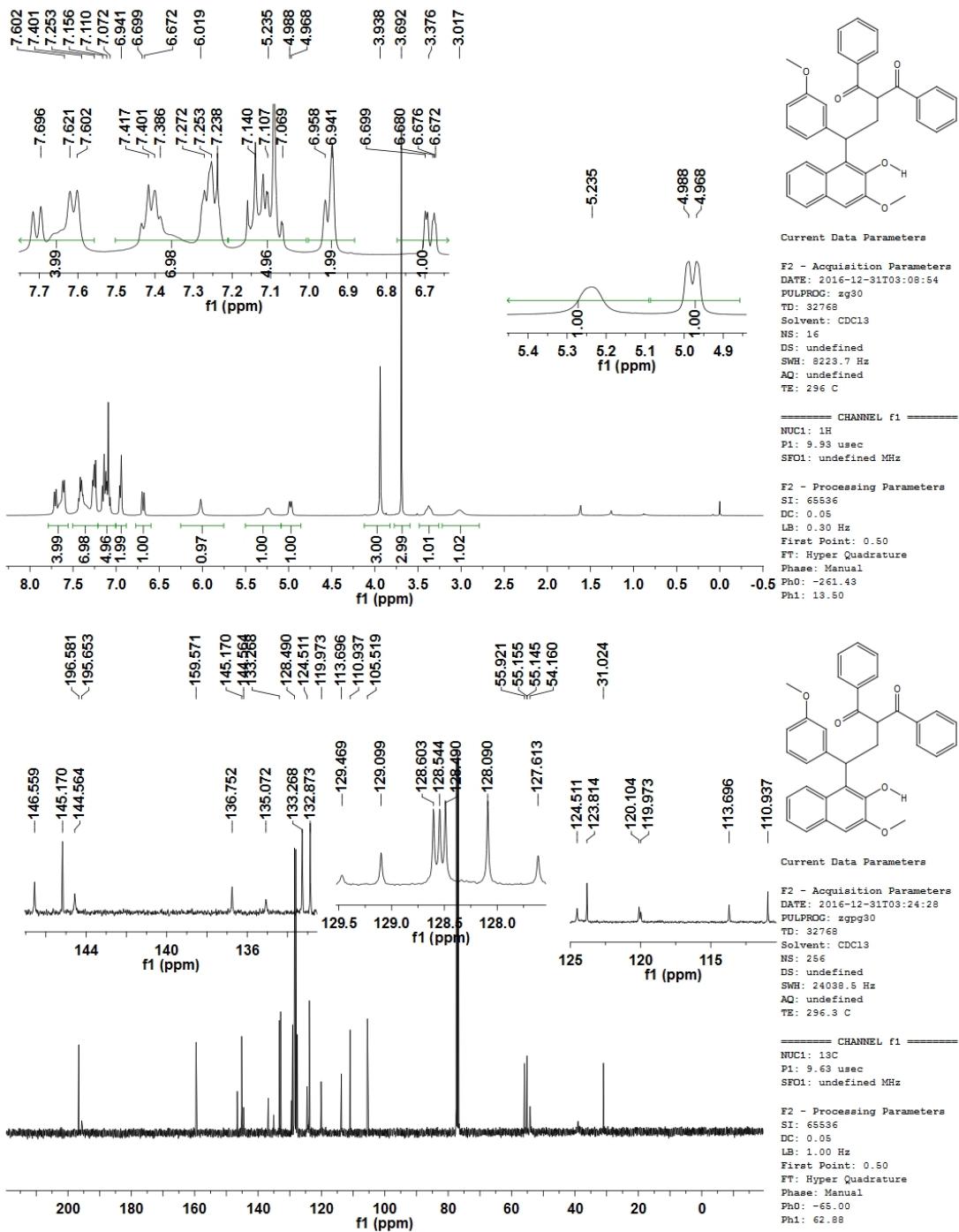


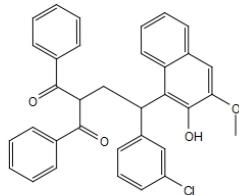
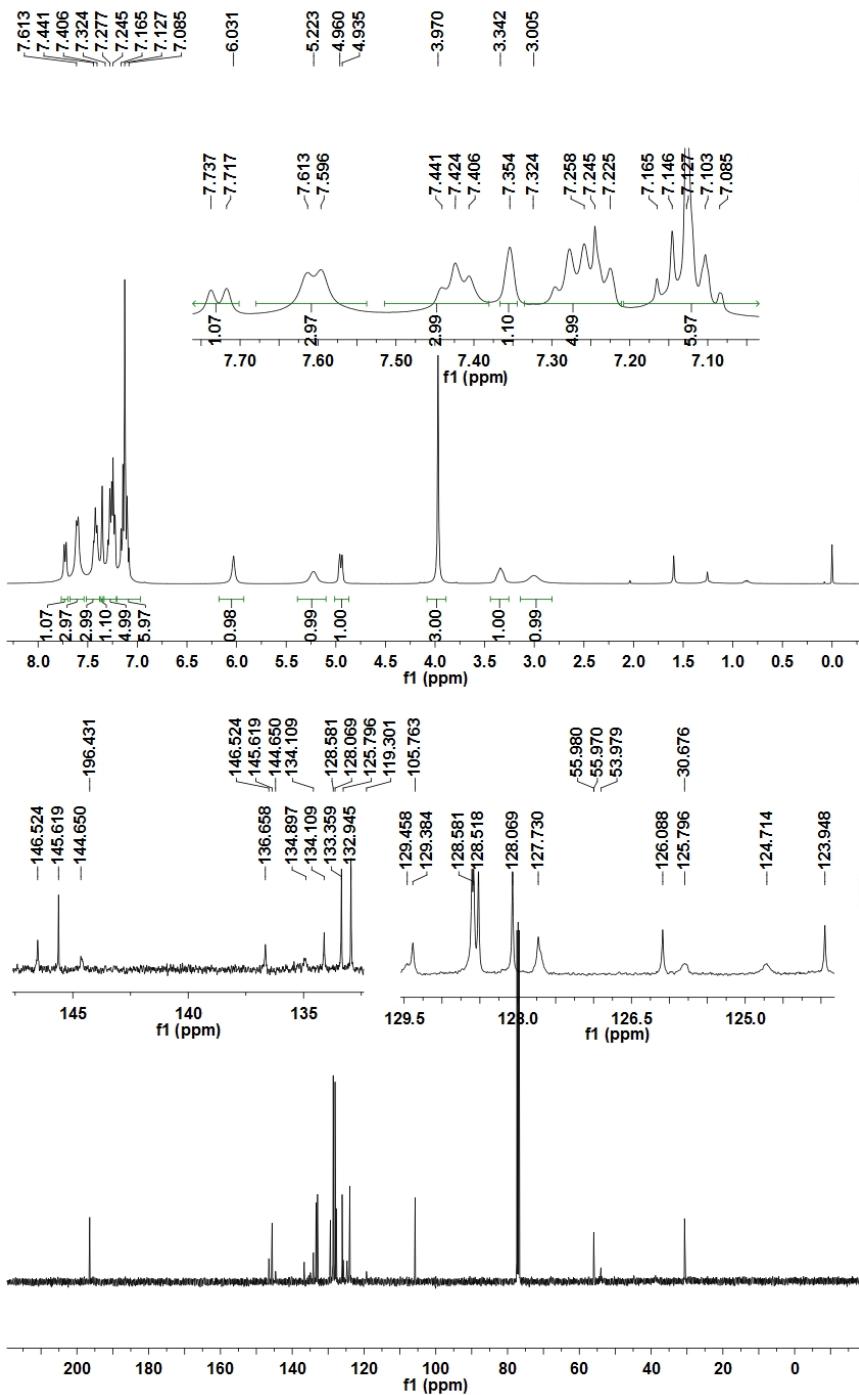












Current Data Parameters

F2 - Acquisition Parameters

- DATE: 2017-01-20T07:32:40
- PULPROG: zg30
- TD: 32768
- Solvent: CDCl₃
- NS: 16
- DS: undefined
- SWH: 8223.7 Hz
- AQ: undefined
- TE: 295.9 C

===== CHANNEL f1 =====

NUC1: 1H
PI: 9.93 usec
SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 0.30 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: 100.63
- Ph1: 1.48

Current Data Parameters

F2 - Acquisition Parameters

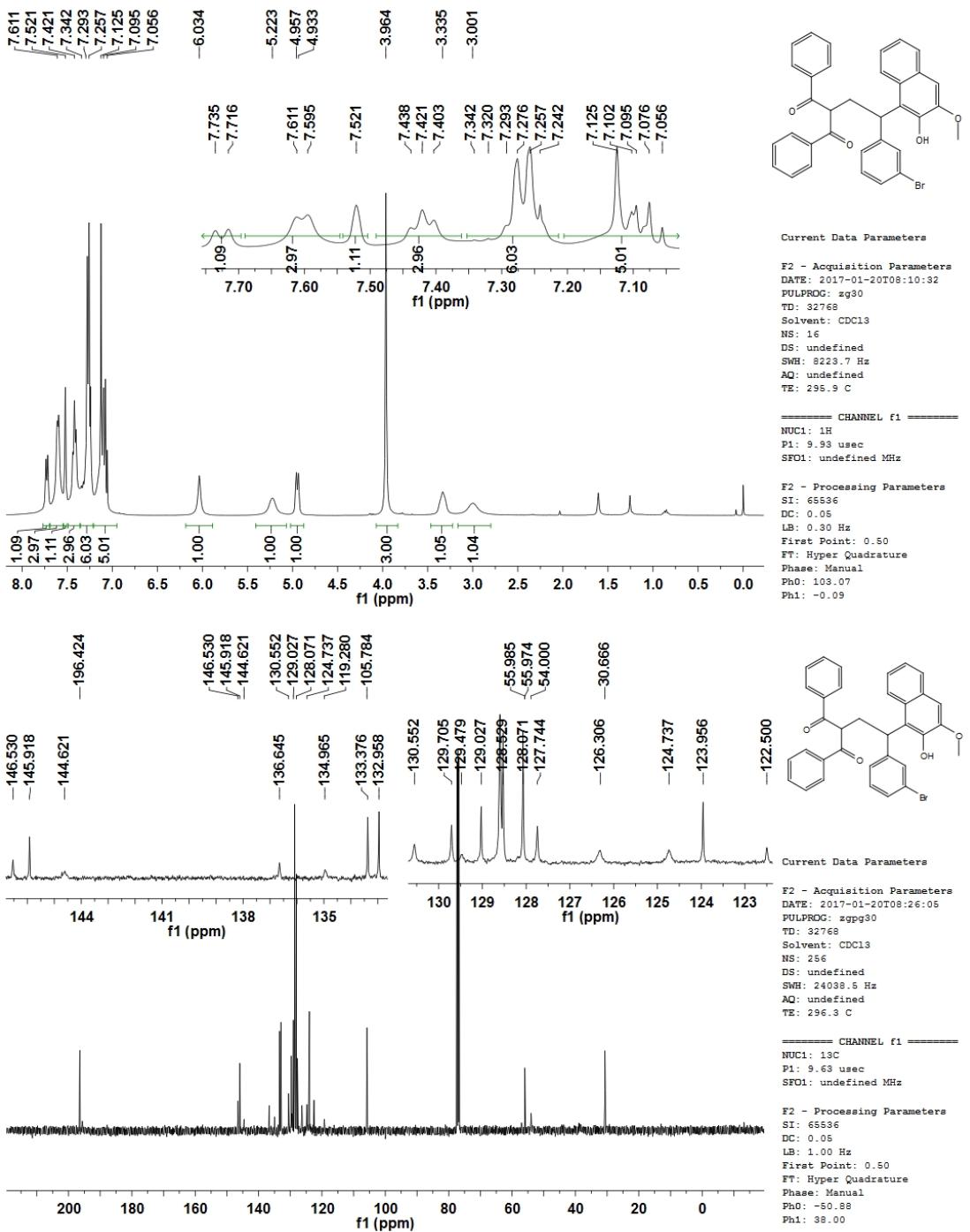
- DATE: 2017-01-20T07:48:12
- PULPROG: zgpg30
- TD: 32768
- Solvent: CDCl₃
- NS: 256
- DS: undefined
- SWH: 24038.5 Hz
- AQ: undefined
- TE: 296.3 C

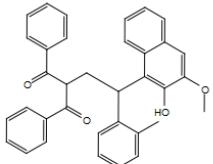
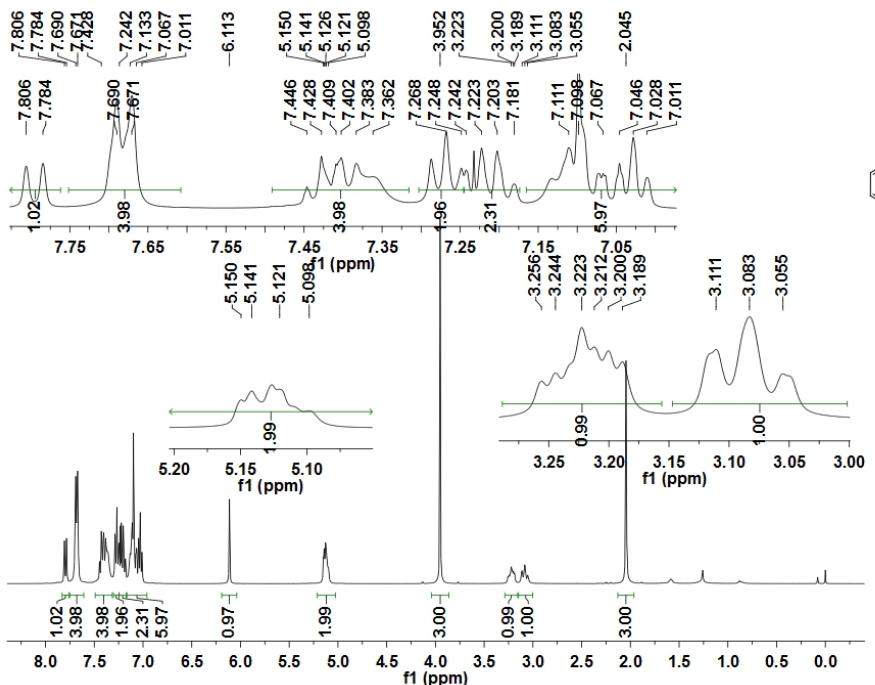
===== CHANNEL f1 =====

NUC1: 13C
PI: 9.63 usec
SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 1.00 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: -62.05
- Ph1: 50.90



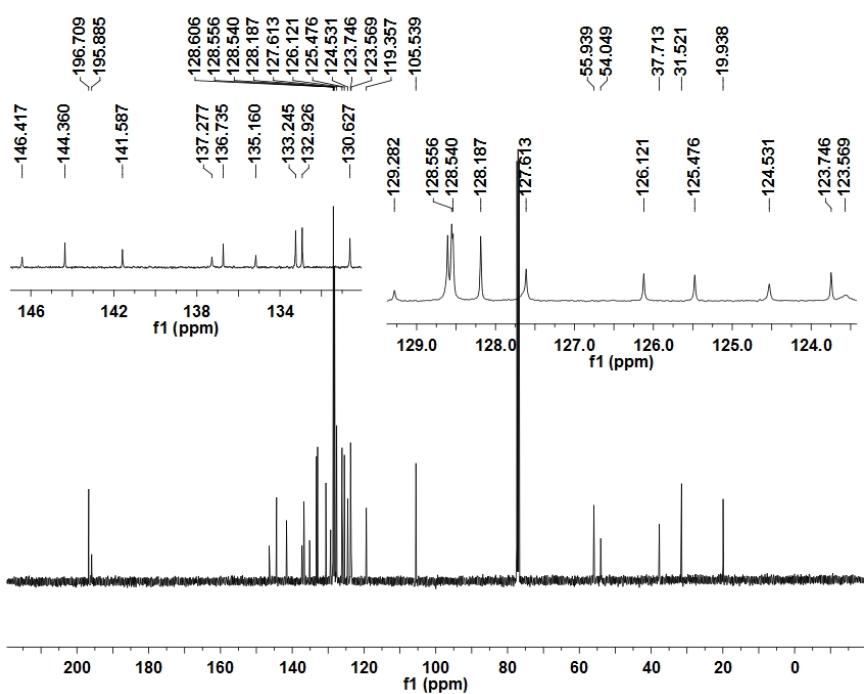


Current Data Parameters

F2 - Acquisition Parameters
DATE: 2016-12-31T04:07:39
PULPROG: zg30
TD: 32768
Solvent: CDCl₃
NS: 16
DS: undefined
SW1: 8223.7 Hz
AQ: undefined
TE: 296 C

===== CHANNEL f1 =====
NUC1: 1H
PI: 9.93 usec
SFO1: undefined MHz

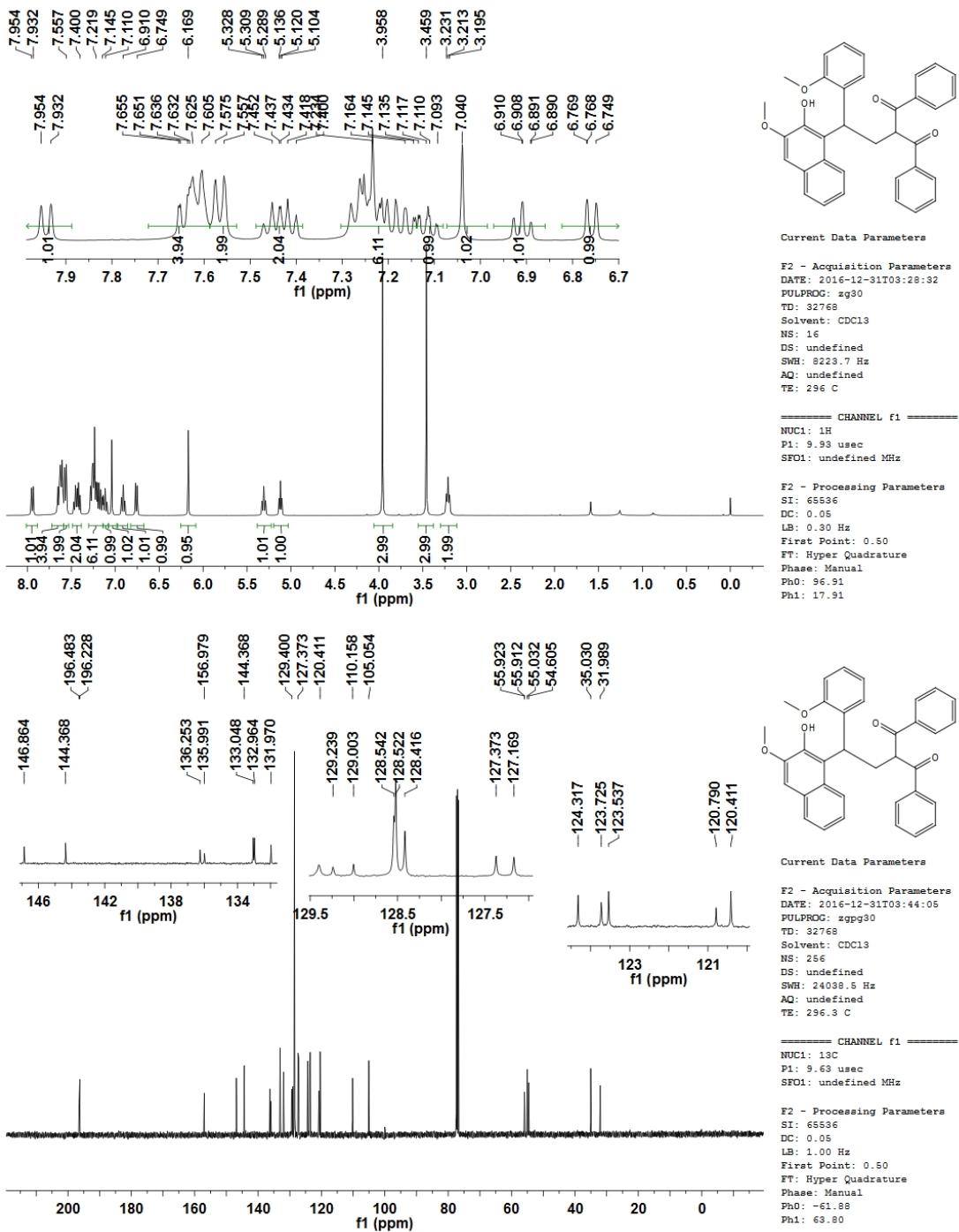
F2 - Processing Parameters
SI: 65536
DC: 0.05
LB: 0.30 Hz
First Point: 0.50
FT: Hyper Quadrature
Phase: Manual
Ph0: 98.57
Ph1: 12.94

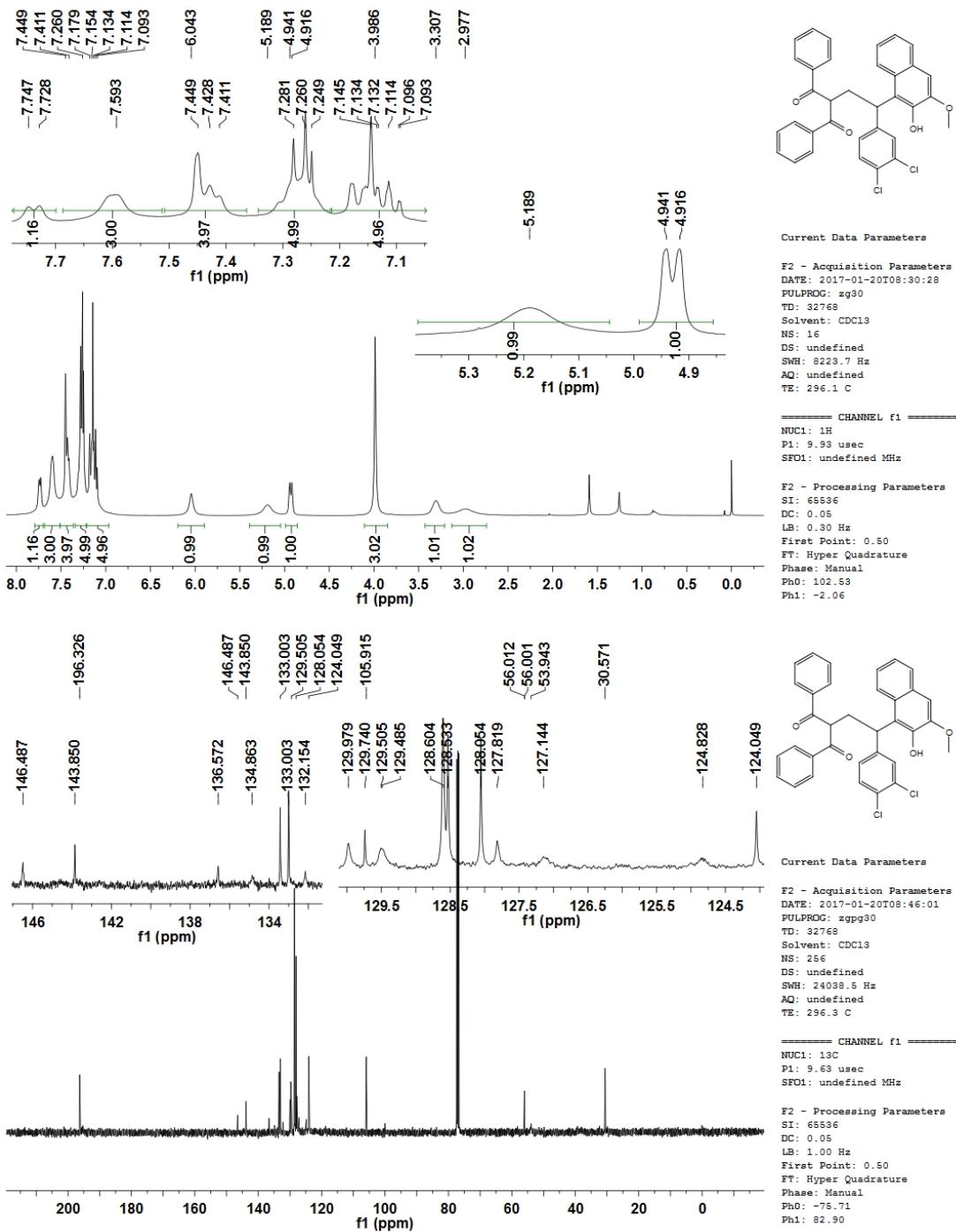


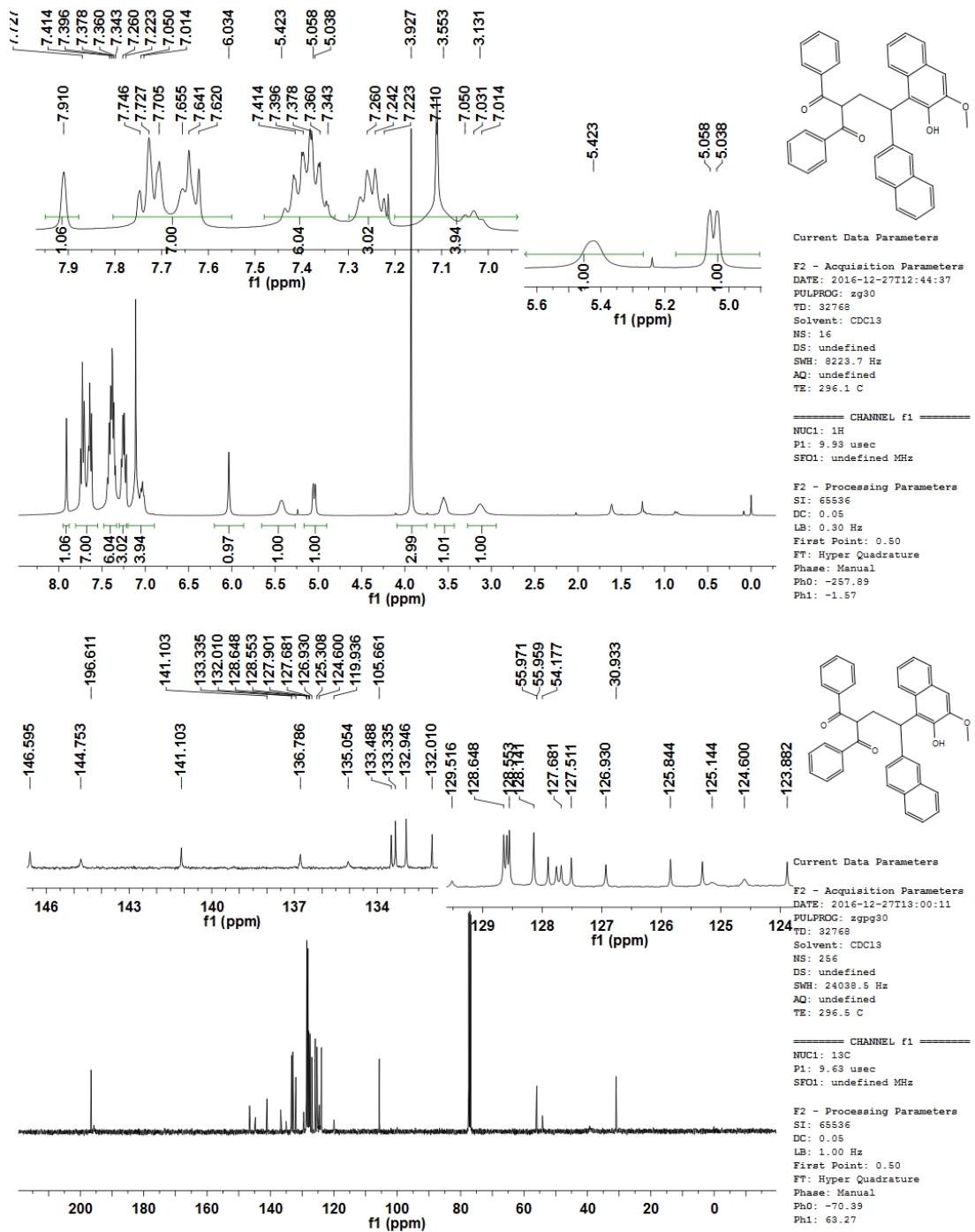
F2 - Acquisition Parameters
DATE: 2016-12-31T04:23:11
PULPROG: zgpg30
TD: 32768
Solvent: CDCl₃
NS: 256
DS: undefined
SW1: 24038.5 Hz
AQ: undefined
TE: 296.3 C

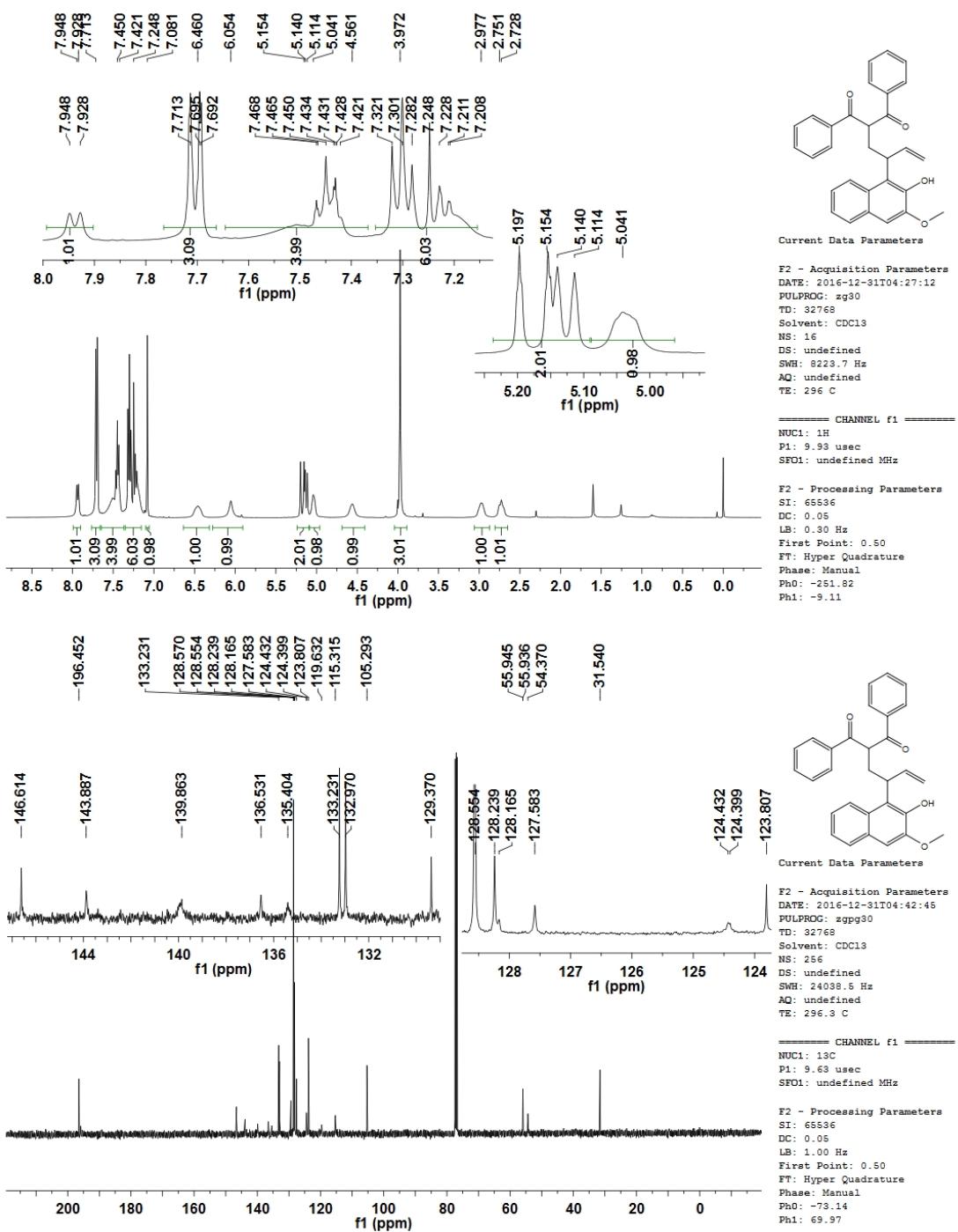
===== CHANNEL f1 =====
NUC1: 13C
PI: 9.63 usec
SFO1: undefined MHz

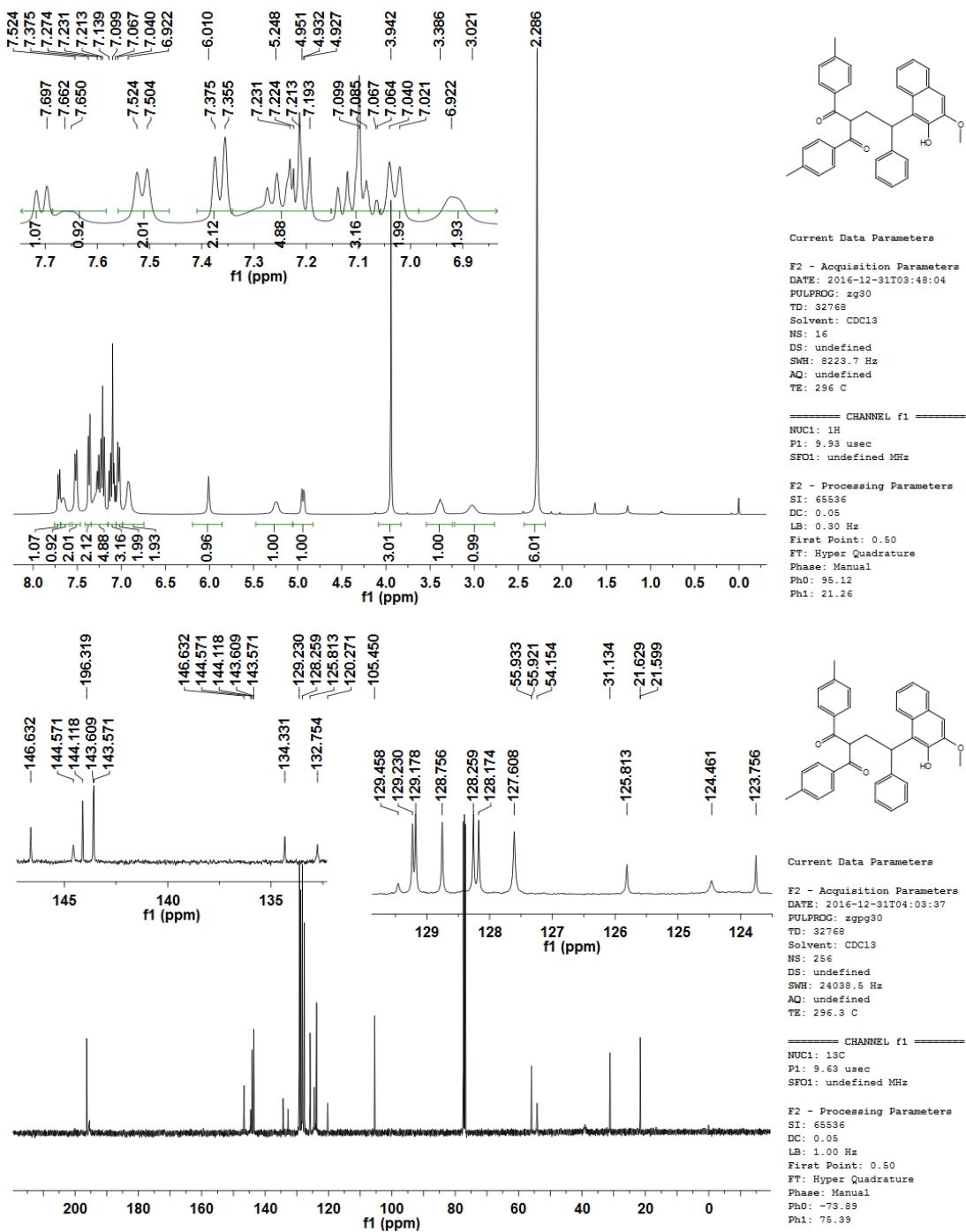
F2 - Processing Parameters
SI: 65536
DC: 0.05
LB: 1.00 Hz
First Point: 0.50
FT: Hyper Quadrature
Phase: Manual
Ph0: -69.04
Ph1: 63.84

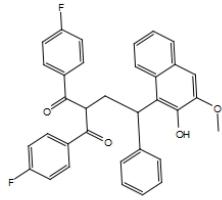
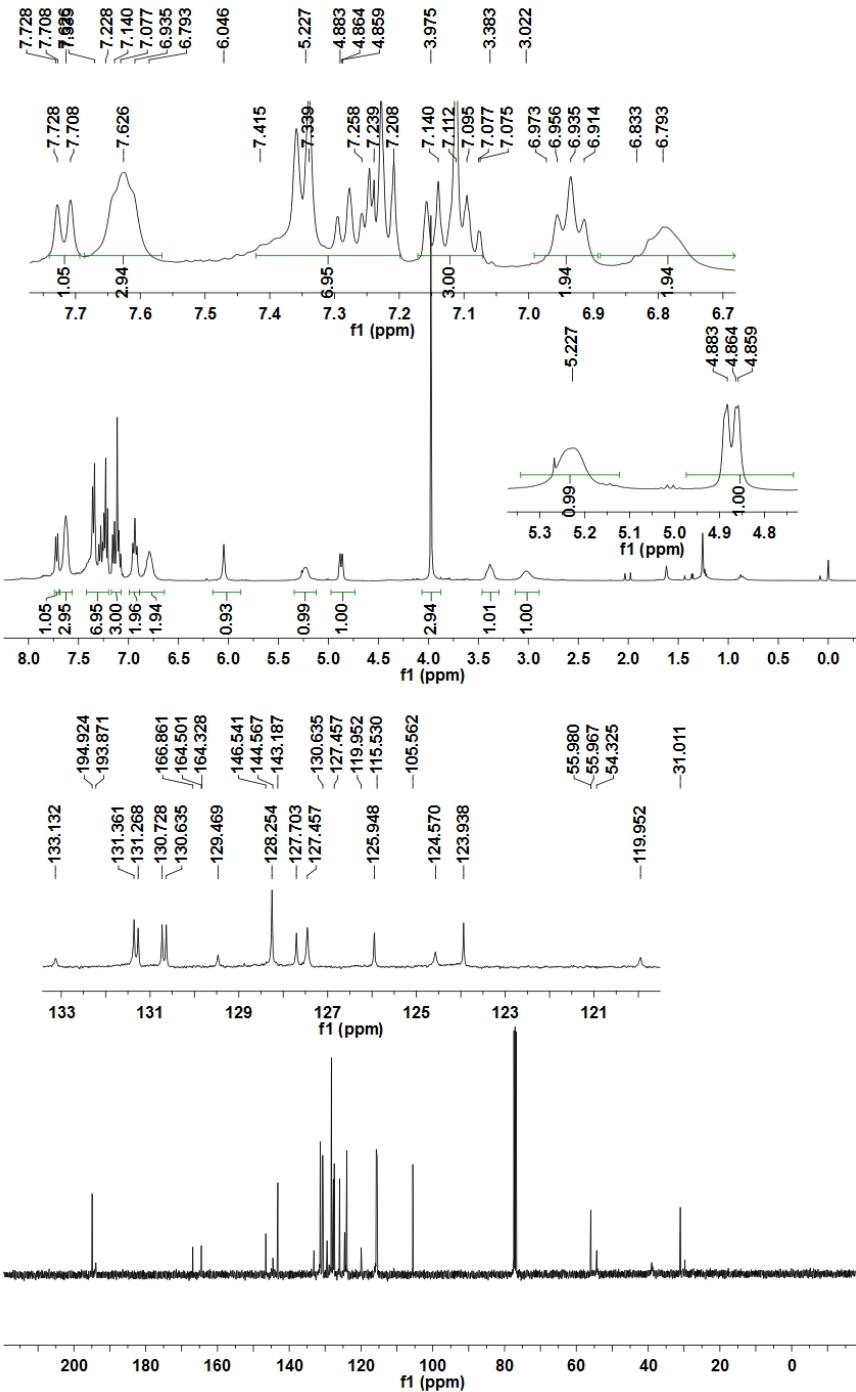










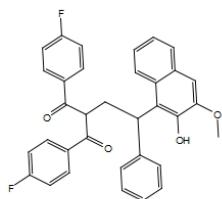


Current Data Parameters

F2 - Acquisition Parameters
DATE: 2016-12-27T13:24:05
PULPROG: zg30
TD: 32768
Solvent: CDCl₃
NS: 16
DS: undefined
SWH: 8223.7 Hz
AQ: undefined
TE: 296.2 C

===== CHANNEL f1 =====
NUC1: 1H
PI: 9.93 usec
SFO1: undefined MHz

F2 - Processing Parameters
SI: 65536
DC: 0.05
LB: 0.30 Hz
First Point: 0.50
FT: Hyper Quadrature
Phase: Manual
PH0: 108.29
Ph1: -12.67

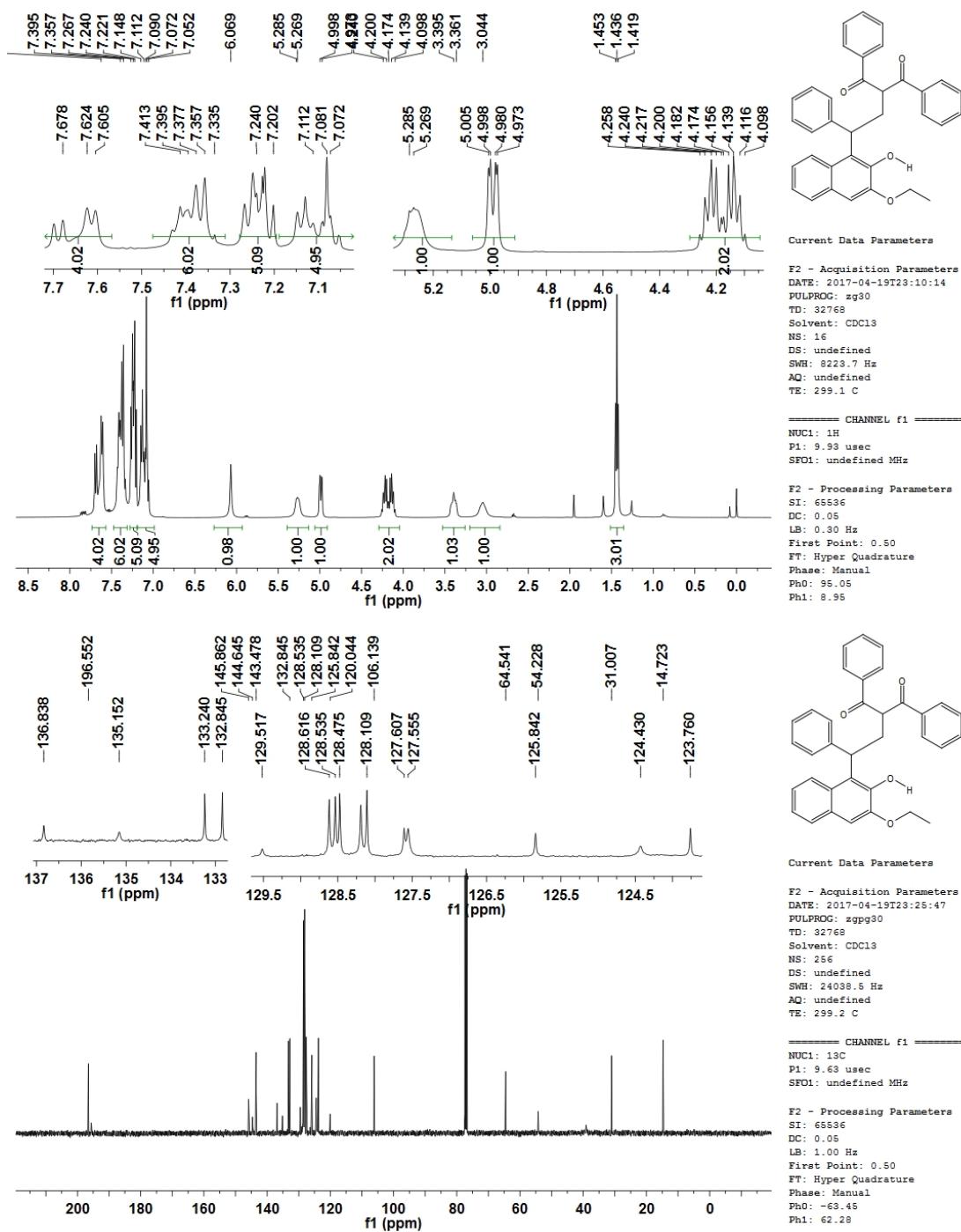


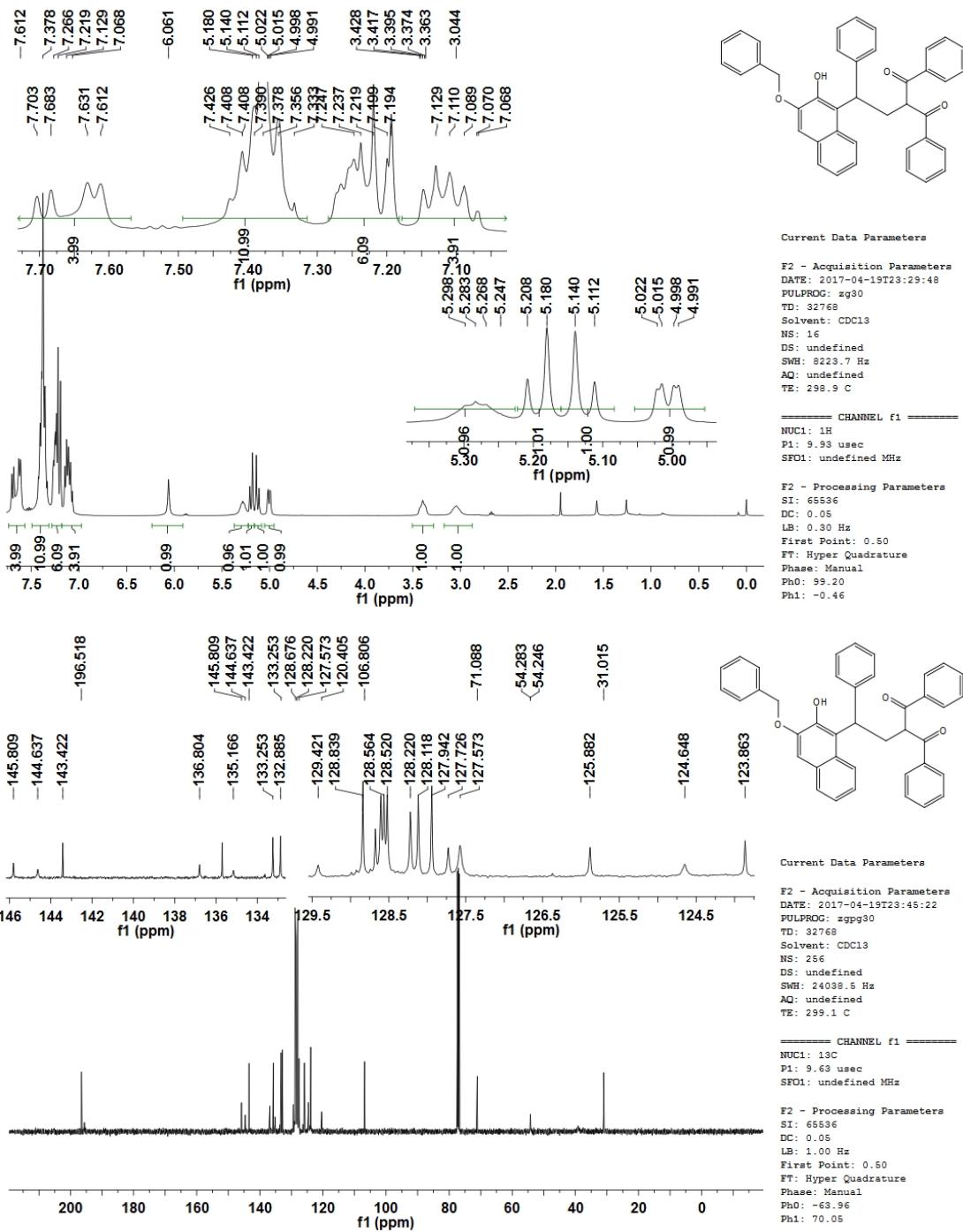
Current Data Parameters

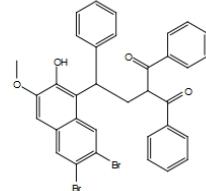
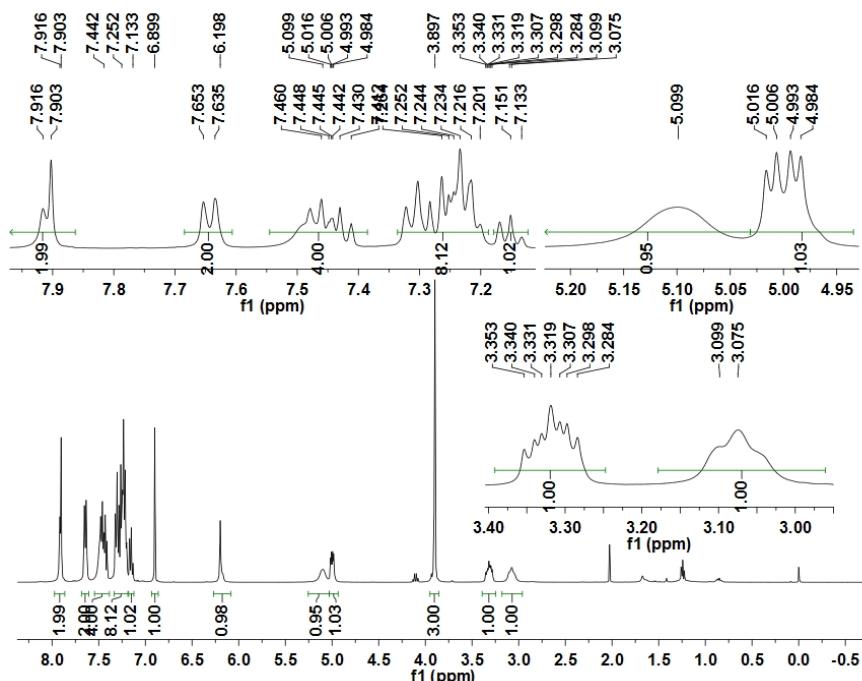
F2 - Acquisition Parameters
DATE: 2016-12-27T13:39:37
PULPROG: zgpg30
TD: 32768
Solvent: CDCl₃
NS: 256
DS: undefined
SWH: 24038.5 Hz
AQ: undefined
TE: 296.4 C

===== CHANNEL f1 =====
NUC1: 13C
PI: 9.63 usec
SFO1: undefined MHz

F2 - Processing Parameters
SI: 65536
DC: 0.05
LB: 1.00 Hz
First Point: 0.50
FT: Hyper Quadrature
Phase: Manual
PH0: -62.19
Ph1: 45.82





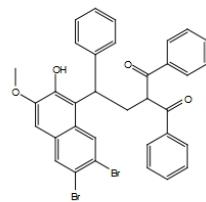
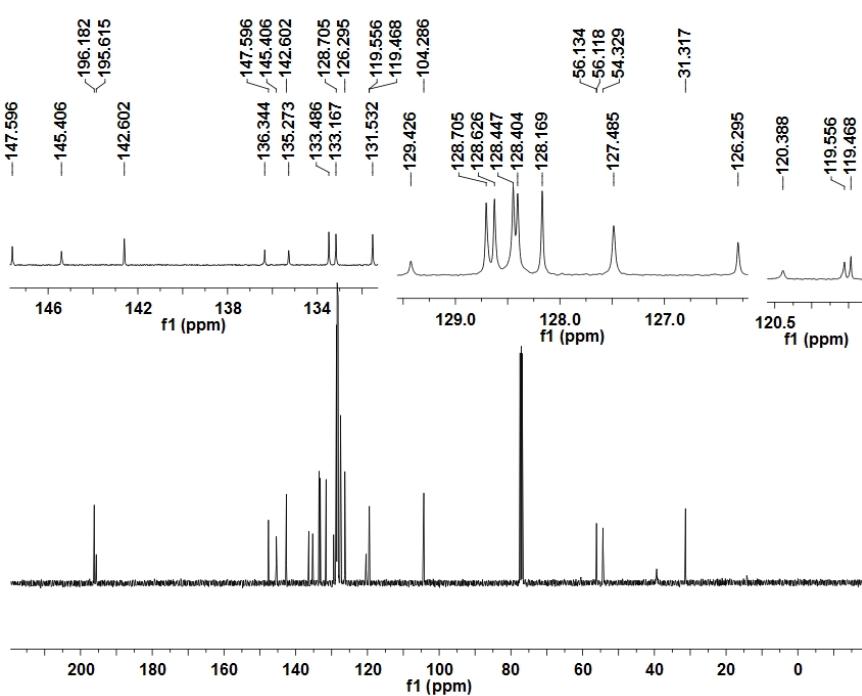


Current Data Parameters

F2 - Acquisition Parameters
DATE: 2017-05-23T06:21:20
PULPROG: zg30
TD: 32768
Solvent: CDCl3
NS: 16
DS: undefined
SWH: 8223.7 Hz
AQ: undefined
TE: 296.3 C

===== CHANNEL f1 =====
NUC1: 1H
P1: 9.93 usec
SFO1: undefined MHz

F2 - Processing Parameters
SI: 65536
DC: 0.05
LB: 0.30 Hz
First Point: 0.50
FT: Hyper Quadrature
Phase: Manual
Ph0: 100.01
Ph1: 15.14

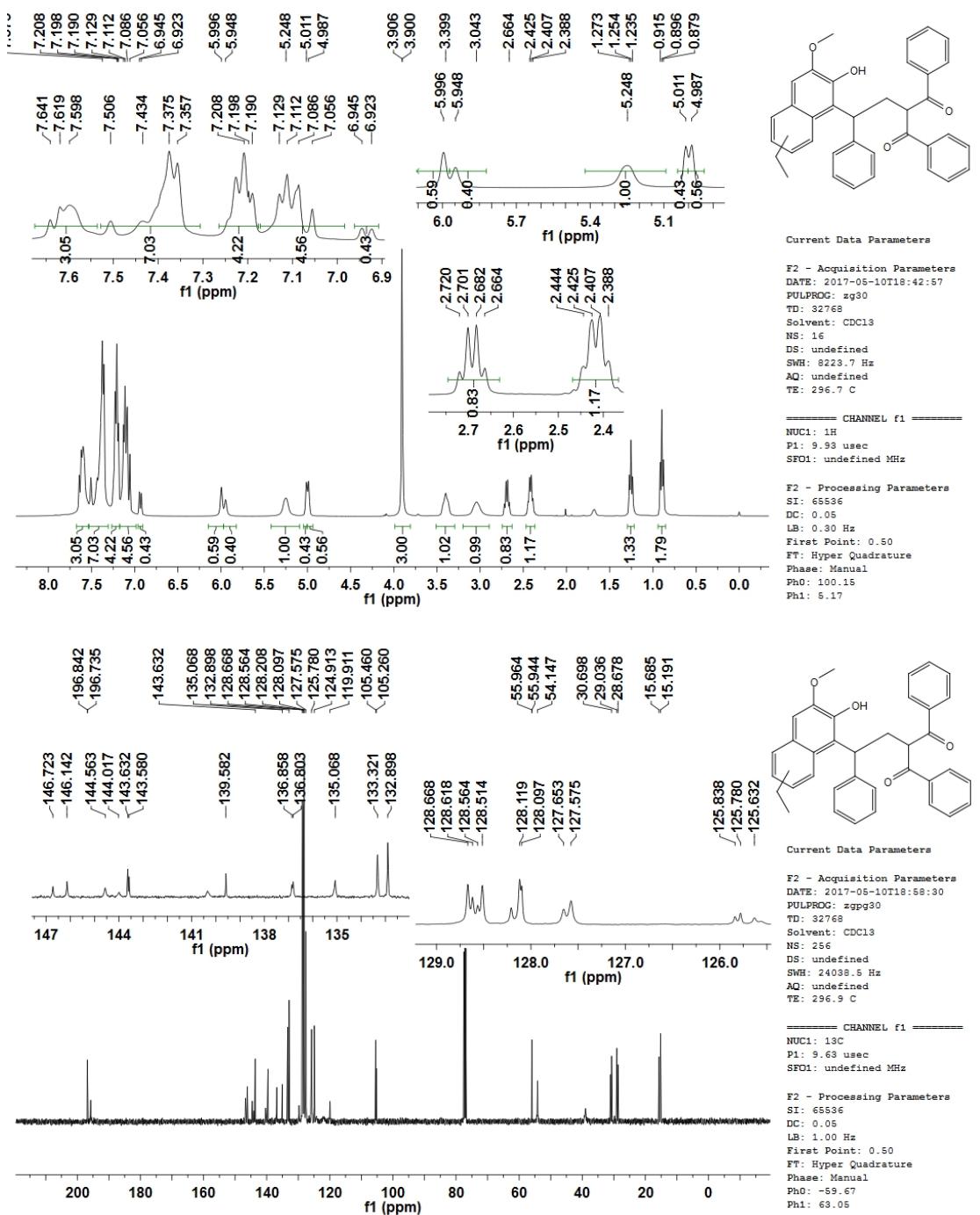


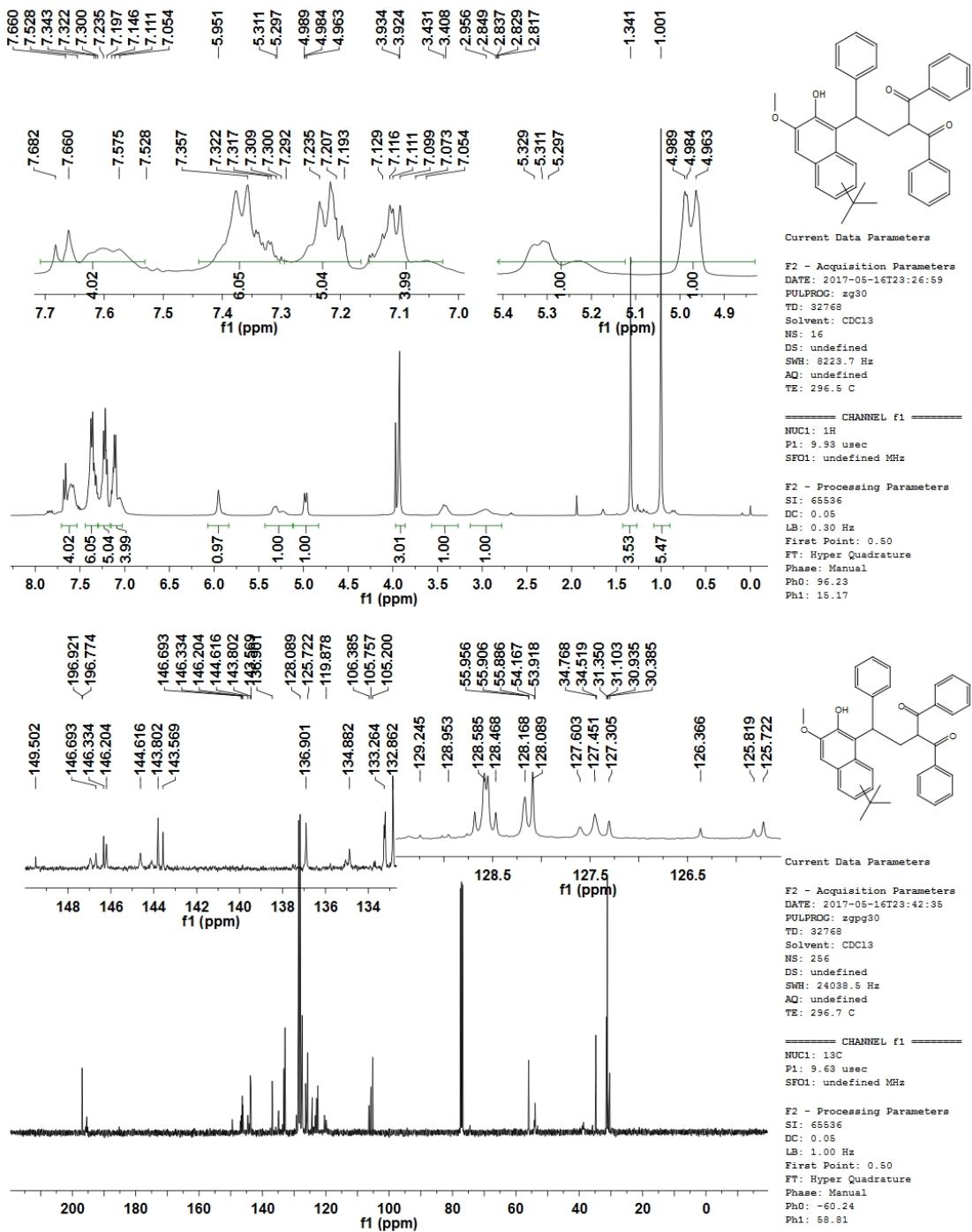
Current Data Parameters

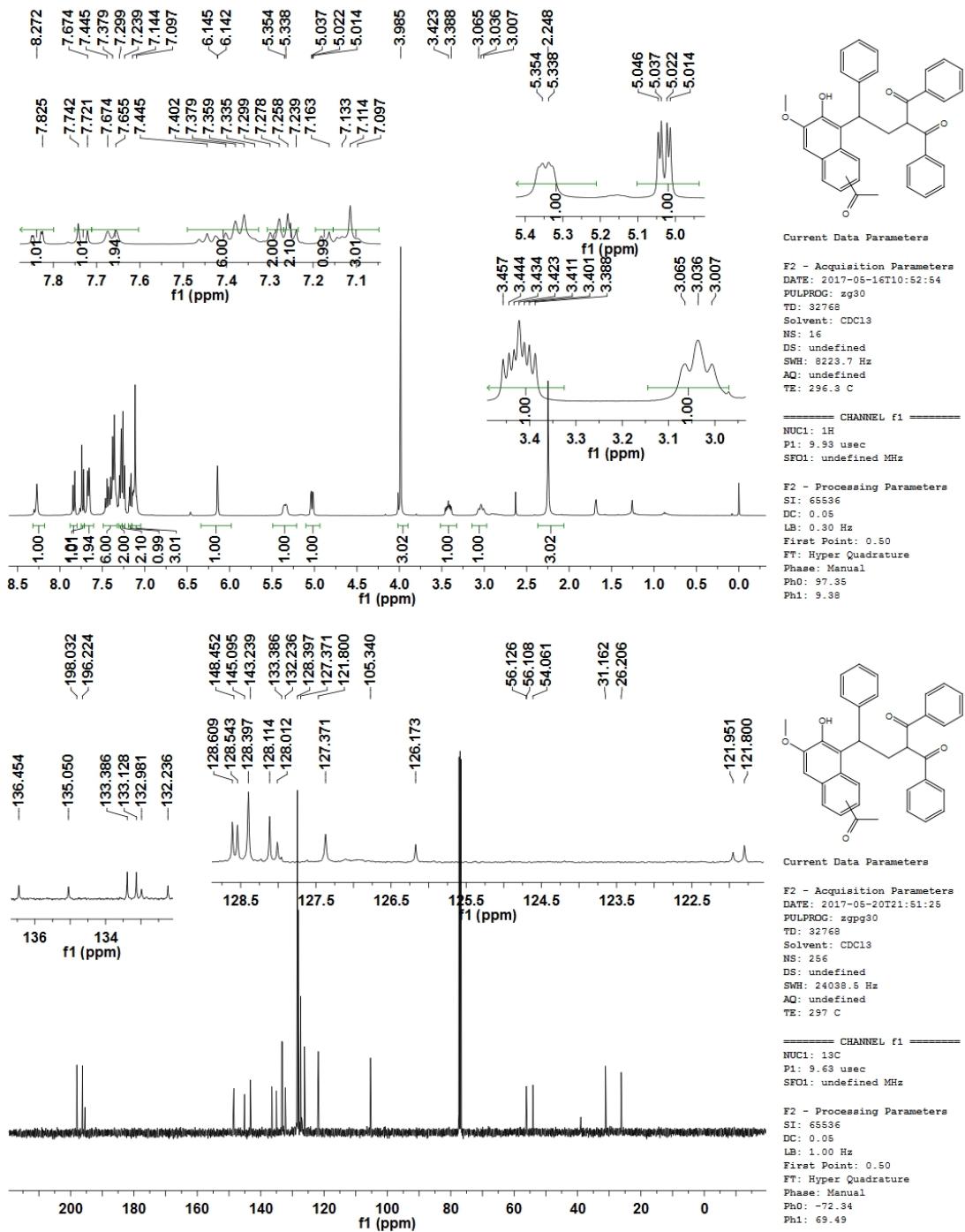
F2 - Acquisition Parameters
DATE: 2017-05-23T06:36:54
PULPROG: zgpg30
TD: 32768
Solvent: CDC13
NS: 256
DS: undefined
SWH: 24038.5 Hz
AQ: undefined
TE: 296.7 C

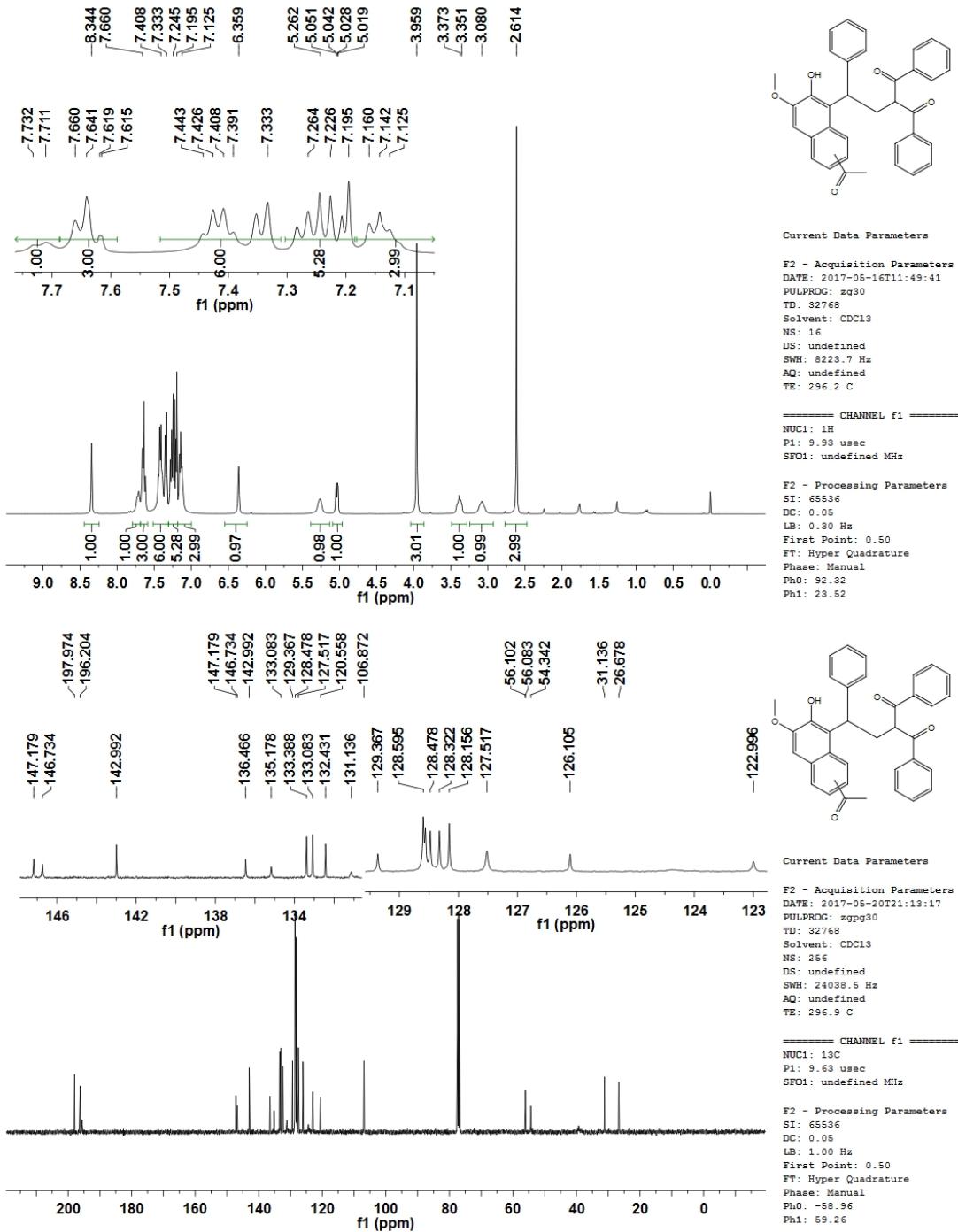
===== CHANNEL f1 =====
NUC1: 13C
P1: 9.63 usec
SFO1: undefined MHz

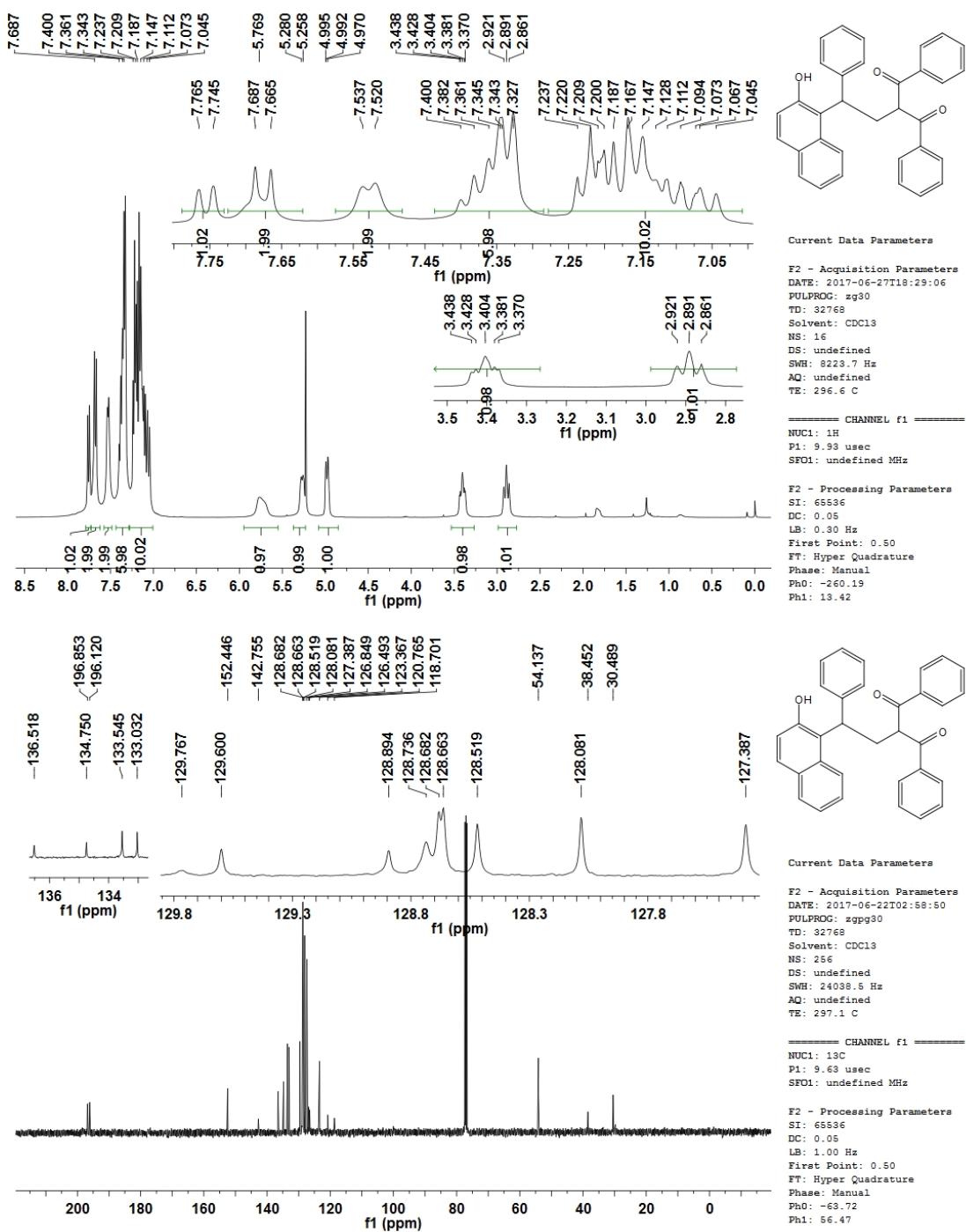
```
F2 - Processing Parameters  
SI: 65536  
DC: 0.05  
LB: 1.00 Hz  
First Point: 0.50  
FT: Hyper Quadrature  
Phase: Manual  
Ph0: -62.08  
Ph1: 60.02
```

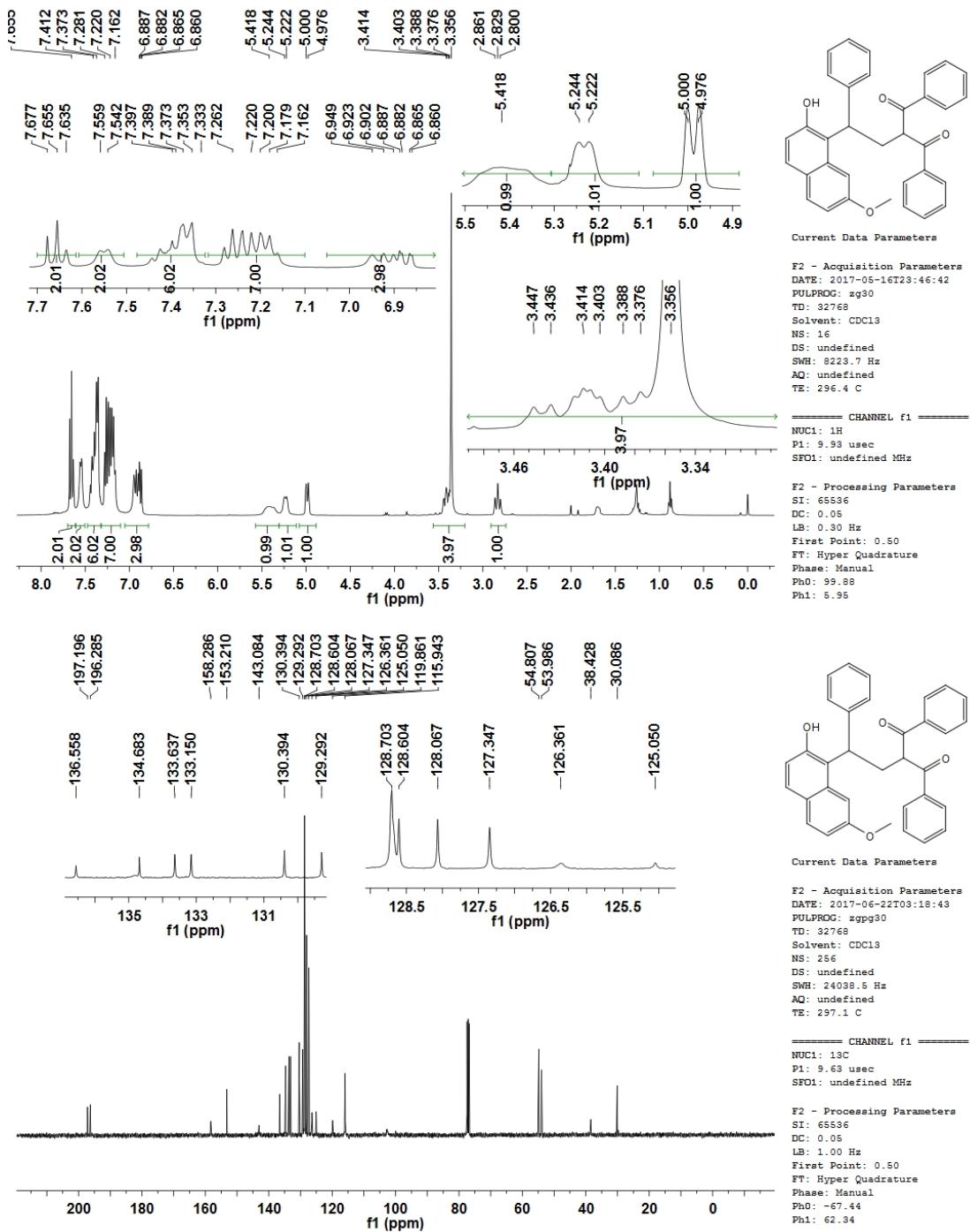


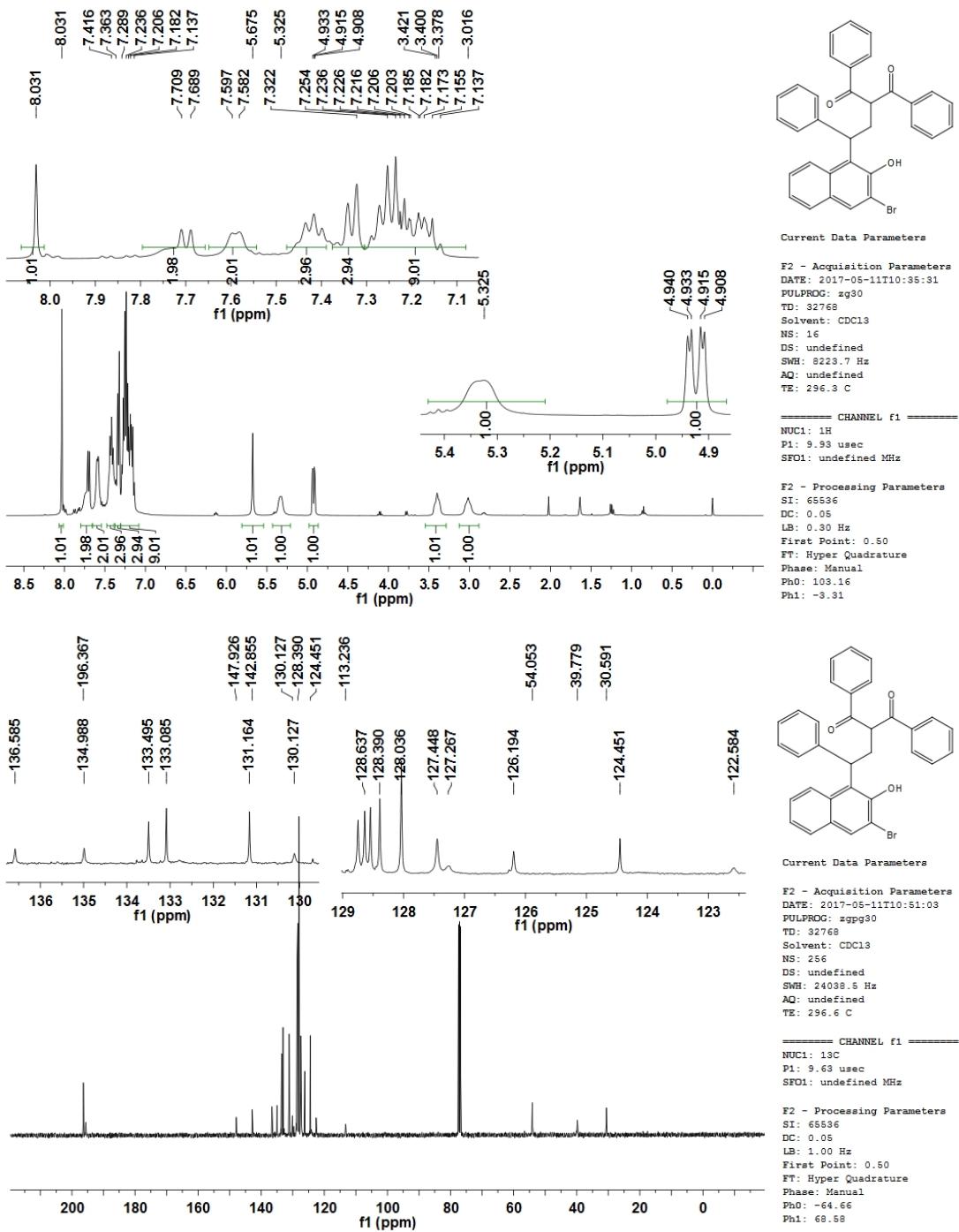


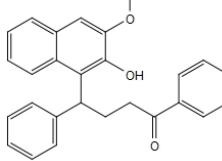
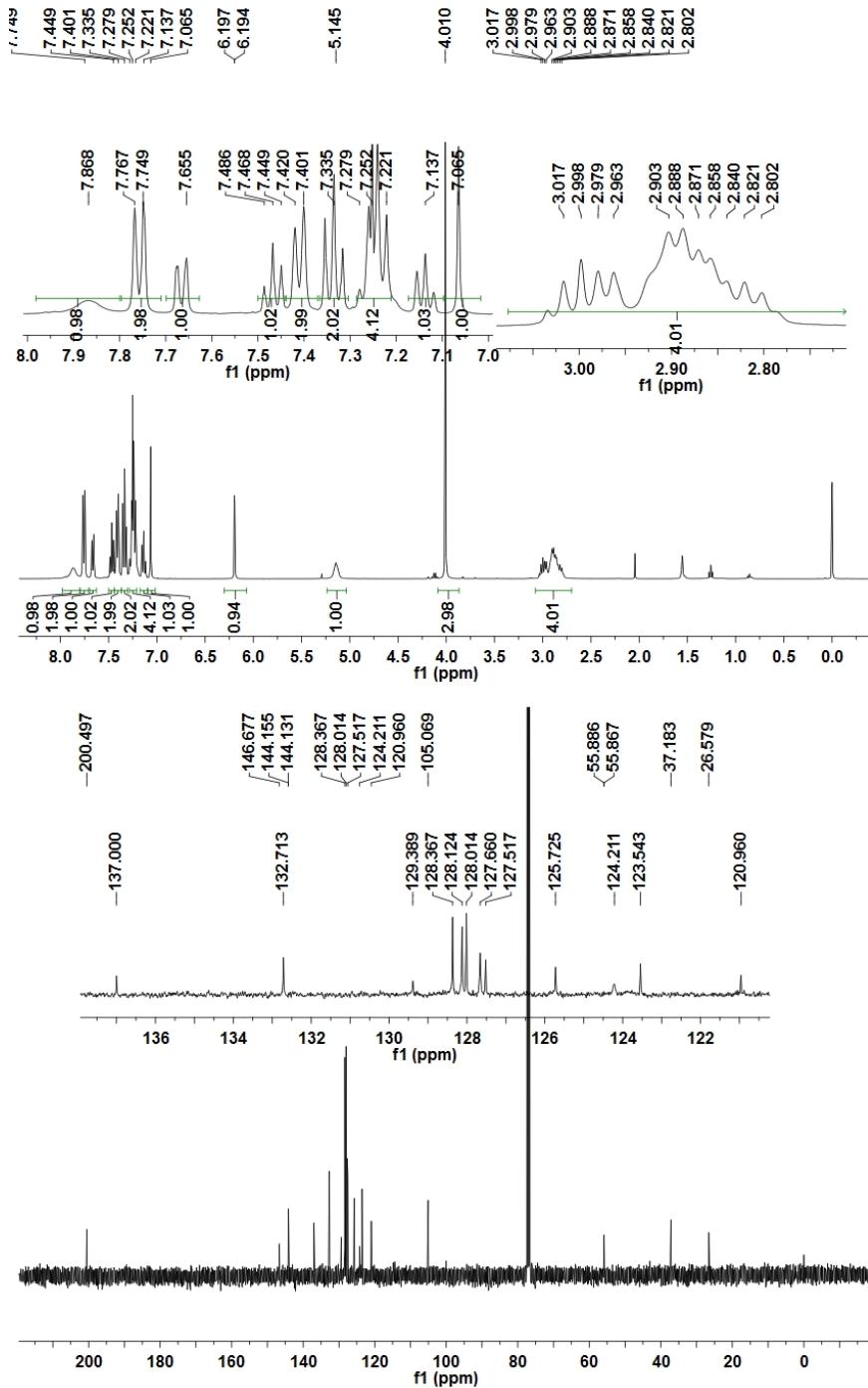












Current Data Parameters

F2 - Acquisition Parameters

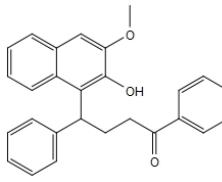
- DATE: 2017-03-28T00:34:45
- PULPROG: zg30
- TD: 32768
- Solvent: CDCl₃
- NS: 16
- DS: undefined
- SWH: 8223.7 Hz
- AQ: undefined
- TE: 296.8 C

===== CHANNEL f1 =====

NUC1: 1H
PI: 9.93 usec
SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 0.30 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: 94.15
- Ph1: 14.82



Current Data Parameters

F2 - Acquisition Parameters

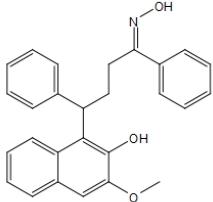
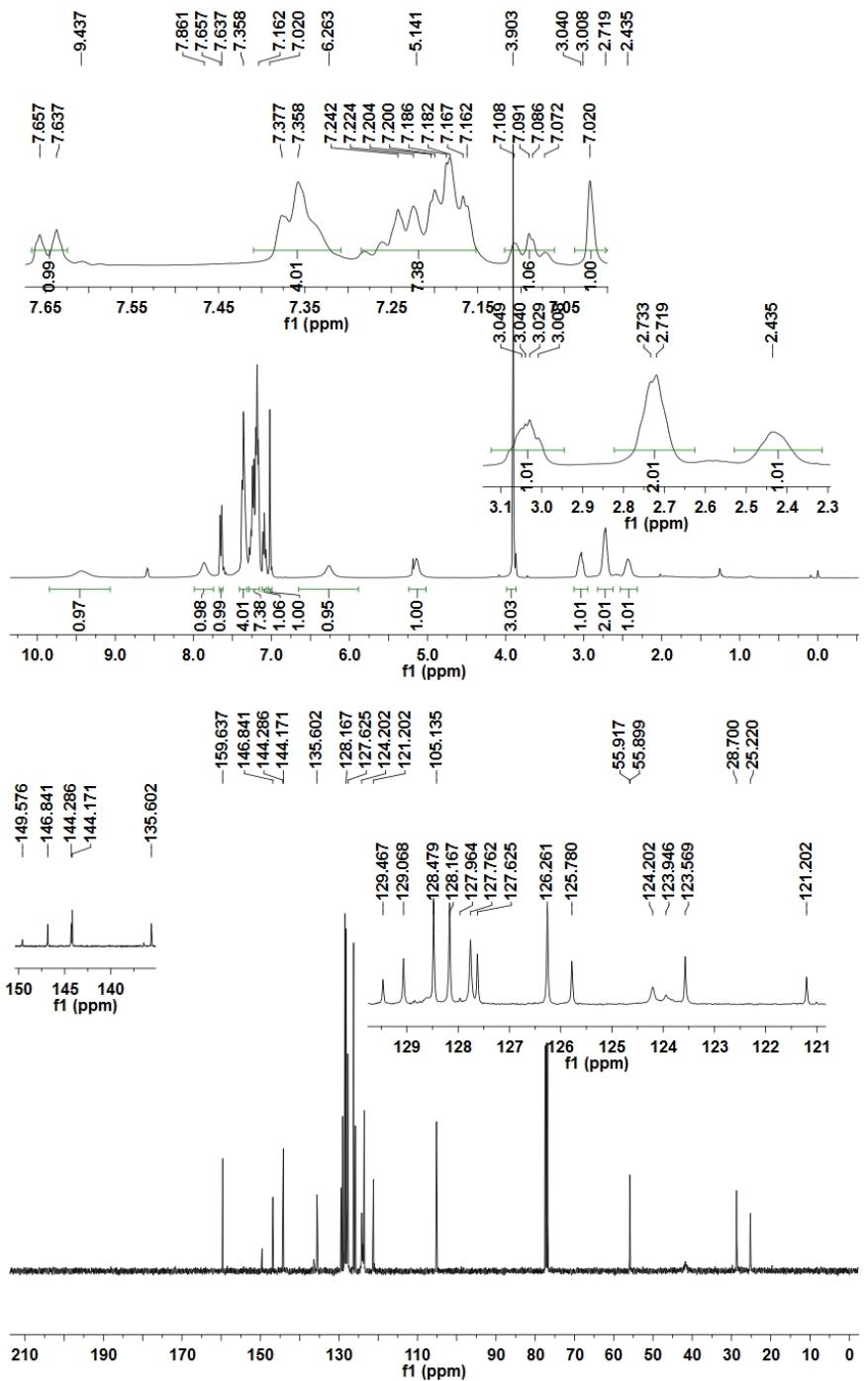
- DATE: 2017-03-28T00:50:20
- PULPROG: zgpg30
- TD: 32768
- Solvent: CDCl₃
- NS: 256
- DS: undefined
- SWH: 24038.5 Hz
- AQ: undefined
- TE: 297.2 C

===== CHANNEL f1 =====

NUC1: 13C
PI: 9.63 usec
SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 1.00 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: -67.65
- Ph1: 51.71



Current Data Parameters

F2 - Acquisition Parameters

- DATE: 2017-04-26T19:57:55
- PULPROG: zg30
- TD: 32768
- Solvent: CDCl₃
- NS: 16
- DS: undefined
- SWH: 8223.7 Hz
- AQ: undefined
- TE: 297.4 C

===== CHANNEL f1 =====

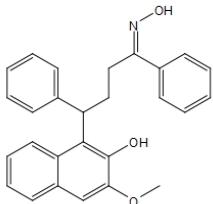
NUC1: 1H

PI: 9.93 usec

SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 0.30 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: -267.18
- Ph1: 18.78



Current Data Parameters

F2 - Acquisition Parameters

- DATE: 2017-04-26T20:13:27
- PULPROG: zgpg30
- TD: 32768
- Solvent: CDCl₃
- NS: 256
- DS: undefined
- SWH: 24038.5 Hz
- AQ: undefined
- TE: 297.7 C

===== CHANNEL f1 =====

NUC1: 13C

PI: 9.63 usec

SFO1: undefined MHz

F2 - Processing Parameters

- SI: 65536
- DC: 0.05
- LB: 1.00 Hz
- First Point: 0.50
- FT: Hyper Quadrature
- Phase: Manual
- Ph0: -59.61
- Ph1: 43.73