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**Supporting Information**

**for**

# Construction of chiral Betti bases precursors containing congested quaternary stereogenic center *via* chiral phosphoric acid-catalyzed arylation of isoindolinone-derived ketimines

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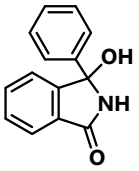
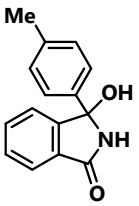
# 1. General Information

Chemicals and solvents were purchased from commercial suppliers and used as received. Flash column chromatography was carried out using silica gel (Merck, 40−63 μm particle size). NMR spectra were recorded on Bruker Avance 600 and 300 MHz spectrometers, operating at 150.92 or 75.47 MHz for $^{13}C$ and 600.13 or 300.13 MHz for $^{1}H$ nuclei. Chemical shifts are quoted in ppm and are referenced to the residual nondeuterated solvent peak. Spectra were acquired at 298 K. Infrared spectra were recorded on a Varian UV/vis Cary 4000 spectrometer equipped with an attenuated total reflectance attachment with internal calibration. Absorbtion maxima ($\nu_{max}$) are reported in wavenumbers ($cm^{-1}$). Mass spectrometry measurements were performed on an HPLC system coupled with a triple quadrupole mass spectrometer, operating in a positive electrospray ionization (ESI) mode. High resolution mass spectrometry (HRMS) was performed on a 4800 Plus MALDI TOF/TOF Analyzer. Melting points were determined using an Electrothermal 9100 apparatus in open capillaries and are uncorrected. Enantiomeric ratios were determined on a Varian ProStar HPLC system. Substrates, 3-aryl 3-hydroxyisoindolinones **IS-1**–**IS-12** were synthesized in high yields from readily available starting materials, by employing addition of a Grignard or an organolithium reagent to phthalimide.[1] Chiral phosphoric acids **CPA1**–**CPA7** were prepared according to the known procedures.[2–4] Racemic standards were obtained by employing *p*-toluenesulfonic acid (10 mol%).

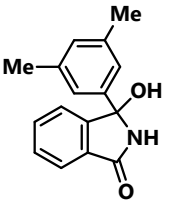
## 2. List of starting isoindolinone alcohols



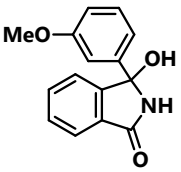

**IS-1**



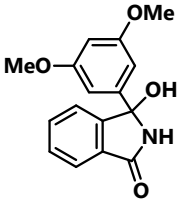

**IS-2**



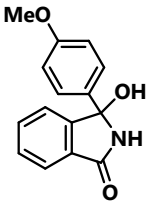

**IS-3**



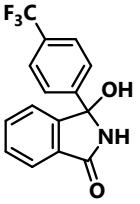

**IS-4**



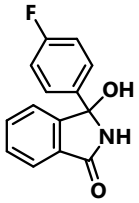

**IS-5**



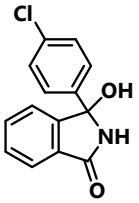

**IS-6**



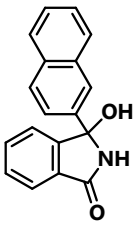

**IS-7**



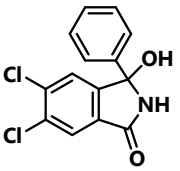

**IS-8**



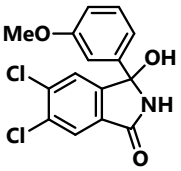

**IS-9**




**IS-10**



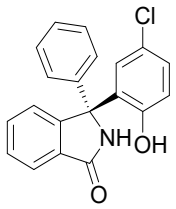

**IS-11**




**IS-12**

# 3. Experimental procedures and analytical data

**(S)-3-(5-chloro-2-hydroxyphenyl)-3-phenylisoindolin-1-one (1)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alcohol **IS-1** (30 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid CPA6 (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 7 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **1** as a white solid. Yield: 40 mg (89 %), 86:14 e.r.

Scale-up reaction: isoindolinone alcohol **IS-1** (227 mg, 1.0 mmol, 1 eq), 4-chlorophenol (643 mg, 5.0 mmol, 5 eq), CPA6 (70.5 mg, 0.10 mmol, 10 mol%), chloroform (15 mL), 40 °C, 14 days. Yield: 201 mg (60%), 74:26 e.r.

**$^1$H NMR** (600 MHz, DMSO-d6) δ 10.10 (s, 1H), 9.09 (s, 1H), 7.82 – 7.77 (m, 1H), 7.74 – 7.71 (m, 1H), 7.63 (td, *J* = 7.6, 1.2 Hz, 1H), 7.55 – 7.51 (m, 1H), 7.31 – 7.25 (m, 3H), 7.24 – 7.22 (m, 1H), 7.17 (dd, *J* = 5.3, 3.3 Hz, 2H), 7.15 (d, *J* = 2.7 Hz, 1H), 6.84 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 154.8, 149.7, 143.5, 132.5, 131.6, 130.7, 129.4, 129.2, 129.1, 128.7, 127.4, 127.0, 125.7, 123.8, 122.3, 118.5, 69.1.

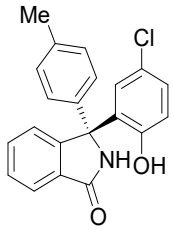
**m.p.** 299.6–304.9 °C

**$\nu_{max}$** (neat): 3370, 3178, 2955, 2359, 1676, 1468, 1316, 1075, 756, 695, 599, 533 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{20}H_{15}ClNO_2$ 336.0713; found 336.0726.

**HPLC traces**: [OD (0.46 cm I.D. x 25 cm L)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm. 86:14 e.r. $t_{R1}$ = 6.8 min (minor), $t_{R2}$ = 11.6 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(p-tolyl)isoindolin-1-one (2)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-2** (31.7 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 9 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **2** as a white solid. Yield: 33 mg (71 %), 80:20 e.r.

**$^1$H NMR** (600 MHz, DMSO-d6) δ 10.07 (s, 1H), 9.08 (s, 1H), 7.78 (d, *J* = 7.8 Hz, 1H), 7.71 (d, *J* = 7.5 Hz, 1H), 7.63 (td, *J* = 7.7, 1.0 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.23 (dd, *J* = 8.5, 2.6 Hz, 1H), 7.13 (d, *J* = 2.6 Hz, 1H), 7.08 (d, *J* = 8.2 Hz, 2H), 7.04 (d, *J* = 8.3 Hz, 2H), 6.83 (d, *J* = 8.6 Hz, 1H), 2.24 (s, 3H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 154.8, 149.9, 140.5, 136.6, 132.4, 131.5, 130.7, 129.3, 129.3, 129.0, 127.2, 125.7, 123.8, 122.3, 118.5, 68.9, 20.9. (One aromatic carbon not visible).

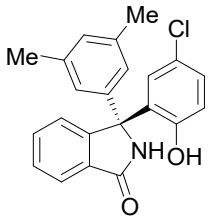
**m.p.** 158.1–160.4 °C

$\boldsymbol{\nu}_{\mathbf{max}}$ (neat): 3368, 3177, 2955, 2359, 1674, 1417, 1360, 1276, 753, 696, 643 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{17}ClNO_2$ 350.0870; found 350.0877.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 80:20 e.r. $t_{R1}$ = 6.2 min (minor), $t_{R2}$ = 9.0 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(3,5-dimethylphenyl)isoindolin-1-one (3)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-3** (33.4 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **3** as a white solid. Yield: 34 mg (71 %), 82:18 e.r.

**$^{1}$H NMR** (600 MHz, DMSO-d6) δ 10,09 (s, 1H), 9.02 (s, 1H), 7.78 (d, *J* = 7,7 Hz, 1H), 7.71 (d, *J* = 7.5 Hz, 1H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.23 (dt, *J* = 15.2, 7.6 Hz, 1H), 7.11 (d, *J* = 2.6 Hz, 1H), 6.87 – 6.81 (m, 2H), 6.79 (s, 2H), 2.17 (s, 6H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 154.8, 149.7, 143.5, 137.6, 132.4, 131.5, 130.8, 129.3, 129.0, 128.9, 127.2, 125.7, 123.8, 123.4, 122.3, 118.5, 69.0, 21.5.

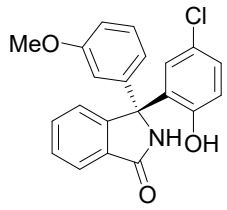
**m.p.** 267.3–269.8 °C

**$\nu_{max}$** (neat): 3177, 2955, 2359, 1674, 1418, 1314, 1077, 694, 599 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{22}H_{19}ClNO_2$ 364.1026; found 364.1031.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm. 82:18 e.r. $t_{R1}$ = 5.5 min (minor), $t_{R2}$ = 8.6 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(3-methoxyphenyl)isoindolin-1-one (4)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-4** (33.8 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq.). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **4** as a white solid. Yield: 38 mg (79 %), 80:20 e.r.

**$^1$H NMR** (600 MHz, DMSO-d6) δ 10.11 (s, 1H), 9.13 (s, 1H), 7.80 (d, *J* = 7.7 Hz, 1H), 7.72 (d, *J* = 7.5 Hz, 1H), 7.64 (t, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.24 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.20 (t, *J* = 8.0 Hz, 1H), 7.12 (d, *J* = 2.6 Hz, 1H), 6.85 – 6.80 (m, 2H), 6.74 (d, *J* = 8.0 Hz, 1H), 6.69 (d, *J* = 1.9 Hz, 1H), 3.66 (s, 3H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.7, 159.6, 154.8, 149.5, 145.1, 132.4, 131.5, 130.6, 129.9, 129.4, 129.1, 127.2, 125.7, 123.8, 122.3, 118.5, 118.1, 112.2, 112.1, 69.0, 55.4.

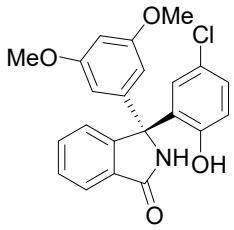
**m.p.** 218.8–220.3 °C

**$\nu_{max}$** (neat): 3065, 2955, 2359, 1673, 1416, 1259, 1045, 694, 647 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{17}ClNO_3$ 366.0897; found 366.0890.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 80:20 e.r. $t_{R1}$ = 8.8 min (minor), $t_{R2}$ = 12.1 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(3,5-dimethoxyphenyl)isoindolin-1-one (5)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-5** (40.7 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **5** as a white solid. Yield: 42 mg (80 %), 83:17 e.r.

**$^1$H NMR** (600 MHz, DMSO-d6) δ 10.11 (s, 1H), 9.10 (s, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.72 (d, *J* = 7.5 Hz, 1H), 7.64 (t, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.24 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.09 (d, *J* = 2.6 Hz, 1H), 6.83 (d, *J* = 8.6 Hz, 1H), 6.40 (t, *J* = 2.1 Hz, 1H), 6.29 (d, *J* = 2.1 Hz, 2H), 3.65 (s, 6H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 160.8, 154.9, 149.2, 146.0, 132.4, 131.5, 130.5, 129.4, 129.2, 127.2, 125.7, 123.8, 122.3, 118.4, 104.5, 98.3, 69.0, 55.6.

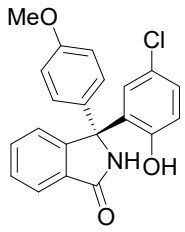
**m.p.** 289.5–293.8 °C

$\boldsymbol{\nu}_{\mathbf{max}}$ (neat): 3423, 3182, 1686, 1415, 1153, 1047, 704, 670 $cm^{-1}$.

**HRMS:** $[M+H]^+$ calcd for $C_{22}H_{19}ClNO_4$ 396.8436; found 396.8429.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 83:17 e.r. $t_{R1}$ = 9.4 min (minor), $t_{R2}$ = 12.6 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(4-methoxyphenyl)isoindolin-1-one (6)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-6** (33.8 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq.). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **6** as a white solid. Yield: 46 mg (96 %), 76:24 e.r.

**$^{1}$H NMR** (300 MHz, DMSO-d6) δ 10.05 (s, 1H), 9.06 (s, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.71 (d, *J* = 7.4 Hz, 1H), 7.62 (td, *J* = 7.5, 1.2 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.22 (dd, *J* = 8.5, 2.6 Hz, 1H), 7.13 (d, *J* = 2.6 Hz, 1H), 7.10 – 7.03 (m, 2H), 6.83 (d, *J* = 8.7 Hz, 3H), 3.70 (s, 3H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.21, 158.18, 154.32, 149.60, 134.73, 131.94, 131.00, 130.26, 128.80, 128.49, 126.77, 126.54, 125.10, 123.26, 121.84, 118.02, 113.55, 68.25, 55.02.

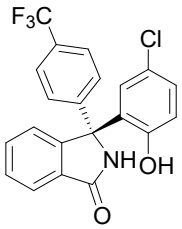
**m.p.** 152.4–154.5 °C

**$\nu_{max}$** (neat): 2952, 2360, 1660, 1413, 1248, 820, 697, 543 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{17}ClNO_3$ 366.0819; found 366.0808.

Enantiomeric ratio determined by HPLC [IA-3 (2.1 mmI.D. x 250 mmL)], 10 % IPA in hexane, flow rate 0.3 mL/min, 240 nm). 76:24 e.r. $t_{R1}$ = 7.3 min (minor), $t_{R2}$ = 8.6 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one (7)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-7** (38.7 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **X** as a white solid. Yield: 44 mg (83 %), 71:29 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.20 (s, 1H), 9.27 (s, 1H), 7.83 (d, *J* = 7.6 Hz, 1H), 7.76 (d, *J* = 7.4 Hz, 1H), 7.67 (t, *J* = 6.9 Hz, 3H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.38 (d, *J* = 8.2 Hz, 2H), 7.27 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.15 (d, *J* = 2.6 Hz, 1H), 6.86 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 154.6, 148.9, 148.4, 132.9, 131.5, 130.1, 129.7, 129.5, 128.3, 127.9, 126.9, 126.5, 125.8, 125.8, 125.6, 124.1, 122.9, 122.5, 118.6, 68.9.

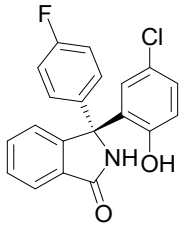
**m.p.** 185.4−189.7 °C

**$\nu_{max}$** (neat): 2360, 1679, 1277, 1323, 1112, 821, 700, 567 cm$^{-1}$.

**HRMS:** $[M+H]^+$ calcd for $C_{21}H_{14}ClF_3NO_2$ 404.7896; found 404.7903.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 71:29 e.r. $t_{R1}$ = 6.1 min (minor), $t_{R2}$ = 8.7 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(4-fluorophenyl)isoindolin-1-one (8)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-8** (32.2 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **8** as a white solid. Yield: 34 mg (73 %), 72:28 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.13 (s, 1H), 9.17 (s, 1H), 7.82 (d, *J* = 7.7 Hz, 1H), 7.73 (d, *J* = 7.4 Hz, 1H), 7.65 (td, *J* = 7.5, 1.2 Hz, 1H), 7.54 (t, *J* = 7.1 Hz, 1H), 7.24 (dd, *J* = 8.5, 2.6 Hz, 1H), 7.21 – 7.07 (m, 5H), 6.85 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.9, 162.4, 160.8, 154.7, 149.6, 139.5, 132.8, 131.3, 130.4, 129.5, 129.3, 127.7, 127.7, 127.1, 125.6, 123.9, 122.5, 118.6, 115.5, 115.4, 68.7.

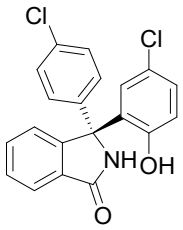
**m.p.** 298.3−302.6 °C

**$\nu_{max}$** (neat): 3078, 2359, 1667, 1416, 1228, 1274, 816, 697, 558 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{20}H_{14}ClFNO_2$ 354.0697; found 354.0705.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 72:28 e.r. $t_{R1}$ = 6.0 min (minor), $t_{R2}$ = 8.4 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(4-chlorophenyl)isoindolin-1-one (9)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-9** (34.2 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **9** as a white solid. Yield: 35 mg (73 %), 75:25 e.r.

**$^{1}$H NMR** (300 MHz, DMSO-d6) δ 10.19 (s, 1H), 9.18 (s, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.73 (d, *J* = 7.4 Hz, 1H), 7.65 (t, *J* = 7.0 Hz, 1H), 7.55 (t, *J* = 7.2 Hz, 1H), 7.34 (d, *J* = 8.6 Hz, 2H), 7.24 (dd, *J* = 8.6, 2.6 Hz, 1H), 7.14 (dd, *J* = 7.5, 5.6 Hz, 3H), 6.84 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.6, 154.8, 149.3, 142.7, 132.7, 132.1, 131.5, 130.3, 129.6, 129.3, 128.7, 127.7, 127.0, 125.6, 123.9, 122.4, 118.6, 68.7.

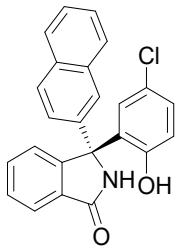
**m.p.** 281.2−285.4 °C

**$\nu_{max}$** (neat): 2360, 1673, 1416, 1092, 1013, 817, 697, 537 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{20}H_{14}Cl_2NO_2$ 370.0323; found 370.0318.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 75:25 e.r. $t_{R1}$ = 6.7 min (minor), $t_{R2}$ = 9.4 min (major).

**(*S*)-3-(5-chloro-2-hydroxyphenyl)-3-(naphthalen-2-yl)isoindolin-1-one (10)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-10** (36.4 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **10** as a white solid. Yield: 35 mg (69 %), 80:20 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.08 (s, 1H), 9.23 (s, 1H), 7.84 (td, *J* = 7.2, 3.4 Hz, 4H), 7.77 (d, *J* = 7.4 Hz, 1H), 7.71 – 7.62 (m, 2H), 7.56 (t, *J* = 7.0 Hz, 1H), 7.51 – 7.44 (m, 2H), 7.33 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.28 (dd, *J* = 8.5, 2.6 Hz, 1H), 7.20 (d, *J* = 2.6 Hz, 1H), 6.87 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.8, 154.9, 149.7, 140.9, 133.1, 132.6, 132.5, 131.6, 130.5, 129.5, 129.2, 128.4, 128.4, 127.8, 127.3, 126.7, 126.4, 125.7, 124.5, 123.9, 123.8, 122.5, 118.6, 69.3.

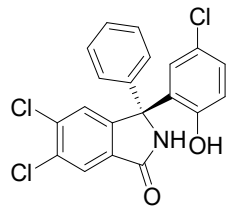
**m.p.** 283.6–286.5 °C

**$\nu_{max}$** (neat): 3053, 1659, 1416, 1118, 700, 556 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{24}H_{17}ClNO_2$ 386.0870; found 386.0879.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm. 80:20 e.r. $t_{R1}$ = 7.4 min (minor), $t_{R2}$ = 13.9 min (major).

**(*S*)-5,6-dichloro-3-(5-chloro-2-hydroxyphenyl)-3-phenylisoindolin-1-one (11)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-11** (38,8 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **11** as a white solid. Yield: 38 mg (71 %), 76:24 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.22 (s, 1H), 9.57 (s,1H), 8.21 (s, 1H), 7.91 (s, 1H), 7.32 – 7.22 (m, 4H), 7.20 – 7.16 (m, 3H), 6.81 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 166.5, 154.5, 149.9, 142.1, 135.3, 132.5, 132.4, 129.8, 129.7, 128.9, 127.9, 127.5, 127.4, 126.1, 125.5, 122.6, 118.6, 68.9.

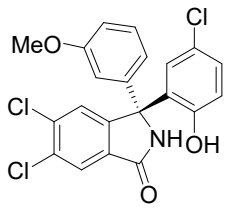
**m.p.** 309.1−313.4 °C

**$\nu_{max}$** (neat): 3395, 1681, 1410, 1281, 1232, 818, 702, 648, 611 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{20}H_{13}Cl_3NO_2$ 404.0012; found 404.0003.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 76:24 e.r. $t_{R1}$ = 7.0 min (minor), $t_{R2}$ = 9.7 min (major).

**(*S*)-5,6-dichloro-3-(5-chloro-2-hydroxyphenyl)-3-(3-methoxyphenyl)isoindolin-1-one (12)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-12** (42.8 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-chlorophenol (84.8 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **12** as a white solid. Yield: 45 mg (79 %), 71:29 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.18 (s, 1H), 9.54 (s, 1H), 8.20 (s, 1H), 7.90 (s, 1H), 7.28 – 7.20 (m, 2H), 7.15 (d, *J* = 2.6 Hz, 1H), 6.86 (dd, *J* = 8.0, 2.2 Hz, 1H), 6.82 (d, *J* = 8.6 Hz, 1H), 6.78 – 6.73 (m, 1H), 6.73 – 6.68 (m, 1H), 3.68 (s, 3H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 166.09, 159.12, 154.04, 149.24, 143.20, 134.83, 132.09, 131.83, 129.62, 129.30, 129.07, 127.02, 126.89, 125.05, 122.13, 118.12, 117.97, 112.16, 112.07, 68.38, 55.00.

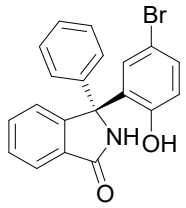
**m.p.** 151.7–153.6 °C

**$\nu_{max}$** (neat): 2996, 2360, 1597, 1411, 1253, 776, 682, 466 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{15}Cl_3NO_3$ 434.0039; found 434.0029.

Enantiomeric ratio determined by HPLC [Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 73:27 e.r. $t_{R1}$ = 10.9 min (minor), $t_{R2}$ = 12.2 min (major).

**(*S*)-3-(5-bromo-2-hydroxyphenyl)-3-phenylisoindolin-1-one (13)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-bromophenol (114.2 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **13** as a white solid. Yield: 31 mg (62 %), 77:23 e.r.

**$^{1}$H NMR** (300 MHz, DMSO-d6) δ 10.13 (s, 1H), 9.12 (s, 1H), 7.80 (d, *J* = 7.6 Hz, 1H), 7.72 (d, *J* = 7.4 Hz, 1H), 7.63 (td, *J* = 7.5, 1.3 Hz, 1H), 7.53 (td, *J* = 7.4, 0.8 Hz, 1H), 7.35 (dd, *J* = 8.5, 2.5 Hz, 1H), 7.31 – 7.21 (m, 4H), 7.18 – 7.10 (m, 2H), 6.78 (d, *J* = 8.5 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 155.3, 149.7, 143.5, 132.5, 132.3, 131.6, 131.2, 129.9, 129.1, 128.7, 127.4, 125.7, 125.7, 123.8, 119.1, 109.9, 69.1.

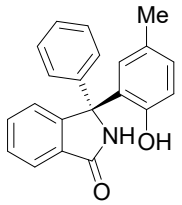
**m.p.** 299.8–305.3 °C

**$\nu_{max}$** (neat): 3407, 2360, 1670, 1408, 1271, 1117, 825, 696, 612 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{20}H_{15}BrNO_2$ 402.0106; found 402.0110.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 77:23 e.r. $t_{R1}$ = 7.3 min (minor), $t_{R2}$ = 15.7 min (major).

**(*S*)-3-(2-hydroxy-5-methylphenyl)-3-phenylisoindolin-1-one (14)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and p-cresol (71.4 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 9 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **14** as a white solid. Yield: 40 mg (96 %), 77:23 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.51 (s, 1H), 8.89 (s, 1H), 7.72 (t, *J* = 7.7 Hz, 2H), 7.61 (td, *J* = 7.5, 1.2 Hz, 1H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.29 – 7.12 (m, 5H), 6.98 (d, *J* = 8.6 Hz, 2H), 6.72 (d, *J* = 7.8 Hz, 1H), 2.15 (s, 3H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.8, 153.4, 150.3, 144.3, 132.2, 131.5, 129.9, 128.8, 128.6, 128.1, 128.0, 127.2, 127.2, 125.9, 125.7, 123.7, 116.8, 69.5, 20.8.

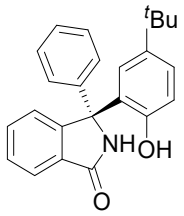
**m.p.** 302.6−305.3 °C

**$\nu_{max}$** (neat): 3401, 2360, 1673, 1418, 1369, 1249, 1059, 759, 697, 566 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{18}NO_2$ 316.1338; found 316.1350.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 77:23 e.r. $t_{R1}$ = 5.8 min (minor), $t_{R2}$ = 10.7 min (major).

**(*S*)-3-(5-(tert-butyl)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (15)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(tert-butyl)phenol (99 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **15** as a white solid. Yield: 46 mg (97 %), 77:23 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.52 (s, 1H), 8.91 (s, 1H), 7.72 (t, *J* = 6.9 Hz, 2H), 7.66 – 7.59 (m, 1H), 7.51 (t, *J* = 7.3 Hz, 1H), 7.27 – 7.12 (m, 7H), 6.75 (d, *J* = 8.3 Hz, 1H), 1.16 (s, 9H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.9, 153.2, 150.3, 144.3, 140.8, 132.1, 131.5, 128.8, 128.6, 127.4, 127.2, 126.3, 125.9, 125.6, 124.4, 123.8, 116.4, 69.7, 34.3, 31.8.

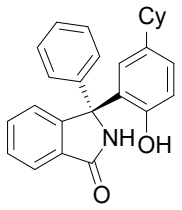
**m.p.** 284.1−285.0 °C

**$\nu_{max}$** (neat): 3407, 2962, 2360, 1681, 1416, 1373, 1265, 1127, 823, 702, 608 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{24}H_{25}NO_2$, 358.1807; found, 358.1817.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 77:23 e.r. $t_{R1}$ = 5.0 min (minor), $t_{R2}$ = 5.9 min (major).

**(*S*)-3-(5-cyclohexyl-2-hydroxyphenyl)-3-phenylisoindolin-1-one (16)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-cyclohexylphenol (116.3 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 9 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **16** as a white solid. Yield: 48 mg (95 %), 81:19 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.52 (s, 1H), 8.91 (s, 1H), 7.73 (dd, *J* = 12.5, 7.5 Hz, 2H), 7.62 (td, *J* = 7.5, 1.2 Hz, 1H), 7.55 – 7.46 (m, 1H), 7.28 – 7.18 (m, 3H), 7.16 – 7.10 (m, 2H), 7.08 – 6.98 (m, 2H), 6.74 (d, *J* = 8.1 Hz, 1H), 2.38 – 2.24 (m, 1H), 1.78 – 1.59 (m, 5H), 1.38 – 1.12 (m, 5H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.9, 153.6, 150.3, 144.3, 137.9, 132.3, 131.4, 128.8, 128.6, 127.8, 127.4, 127.2, 125.9, 125.9, 125.6, 123.8, 116.7, 69.6, 43.5, 34.7, 26.8, 26.0.

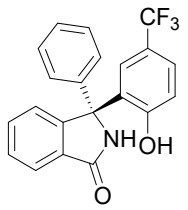
**m.p.** 305.8−307.0 °C

**$\nu_{max}$** (neat): 3424, 2924, 2360, 1662, 1428, 1359, 1246, 1117, 750, 696, 579 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{26}H_{26}NO_2$, 384.1964; found, 384.1952.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm. 81:19 e.r. $t_{R1}$ = 5.4 min (minor), $t_{R2}$ = 6.4 min (major).

**(*S*)-3-(2-hydroxy-5-(trifluoromethyl)phenyl)-3-phenylisoindolin-1-one (17)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(trifluoromethyl)phenol (107.0 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **17** as a white solid. Yield: 15 mg (31 %), 81:19 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 10.74 (s, 1H), 9.25 (s, 1H), 7.82 (d, *J* = 7.7 Hz, 1H), 7.73 (d, *J* = 7.4 Hz, 1H), 7.64 (td, *J* = 7.5, 1.1 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.48 (d, *J* = 2.0 Hz, 1H), 7.31 – 7.22 (m, 3H), 7.19 – 7.11 (m, 2H), 6.99 (d, *J* = 8.4 Hz, 1H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168,8, 159,3, 149,7, 143,4, 132,5, 131,7, 129,6, 129,2, 128,8, 127,5, 127,4, 127,3, 125,9, 125,7, 125,6, 124,5, 124,4, 124,2, 123,9, 119,4, 119,2, 117,4, 69,2.

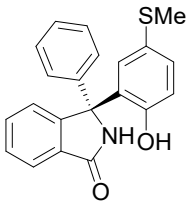
**m.p.** 283.6–286.5 °C

**$\nu_{max}$** (neat): 3056, 2360, 1680, 1455, 1319, 1139, 739, 617 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{15}F_3NO_2$, 370.1055; found, 370.1066.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 81:19 e.r. $t_{R1}$ = 5.4 min (minor), $t_{R2}$ = 6.4 min (major).

**(*S*)-3-(2-hydroxy-5-(methylthio)phenyl)-3-phenylisoindolin-1-one (18)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.132 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(methylthio)phenol (92.5 mg, 0,660 mmol, 5 eq). The reaction was stirred at 40 °C for 18 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **18** as white solid. Yield: 34 mg (74 %).

**$^{1}$H NMR** (600 MHz, DMSO-d6): δ 9.84 (s, 1H), 9.02 (s, 1H), 7.77 (d, J = 7.8 Hz, 1H), 7.71 (d, J = 7.2 Hz, 1H), 7.62 (dt, J = 7.8, 1.2 Hz, 1H), 7.52 (d, J = 7.2 Hz, 1H), 7.27−7.15 (m, 7H), 6.83 (d, J = 8.4 Hz, 1H), 2.34 (s, 3H).

**$^{13}$C NMR** (151 MHz, DMSO-d6): δ 168.2, 153.7, 149.5, 143.4, 131.8, 131.1, 129.3, 128.9, 128.5, 128.2, 127.4, 126.8, 125.7, 125.4, 125.2, 123.3, 117.4, 68.9, 17.0.

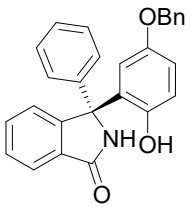
**m.p.** 267.3−269.5 °C

**$\nu_{max}$** (neat): 3406, 2360, 1683, 1408, 1269, 696, 586 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{18}NO_2S$, 348.1058; found, 348.1068.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 80:20 e.r. $t_{R1}$ = 7.6 min (minor), $t_{R2}$ = 23.4 min (major).

**(*S*)-3-(5-(benzyloxy)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (19)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(benzyloxy)phenol (133.2 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **19** as a white solid. Yield: 48 mg (89 %).

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 8.88 (s, 1H), 7.73 – 7.57 (m, 3H), 7.51 (td, *J* = 7.3, 1.1 Hz, 1H), 7.37 – 7.29 (m, 5H), 7.28 – 7.18 (m, 3H), 7.18 – 7.13 (m, 2H), 6.87 (dd, *J* = 8.6, 3.0 Hz, 1H), 6.77 (dd, *J* = 13.7, 5.8 Hz, 2H), 4.93 (s, 2H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.7, 150.9, 149.9, 149.6, 144.0, 137.7, 132.3, 131.5, 129.1, 128.9, 128.8, 128.6, 128.2, 127.2, 125.9, 125.6, 123.8, 117.3, 115.5, 115.0, 70.3, 69.3 (One aromatic carbon not visible).

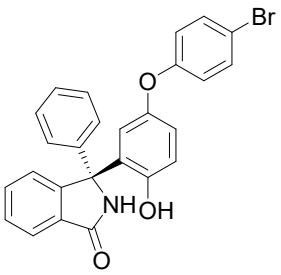
**m.p.** 243.8−245.6 °C

**$\nu_{max}$** (neat): 3396, 2360, 1674, 1428, 1210, 1025, 696, 593 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{27}H_{22}NO_3$, 408.1600; found, 408.1586.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 68:32 e.r. $t_{R1}$ = 9.7 min (minor), $t_{R2}$ = 32.2 min (major).

**(*S*)-3-(5-(4-bromophenoxy)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (20)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(4-bromophenoxy)phenol (176.3 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **20** as a white solid. Yield: 52 mg (83 %), 81:19 e.r.

**$^{1}$H NMR** (300 MHz, DMSO-d6) δ 9.80 (s, 1H), 9.04 (s, 1H), 7.77 – 7.67 (m, 2H), 7.59 (t, *J* = 6.9 Hz, 1H), 7.49 (t, *J* = 8.7 Hz, 3H), 7.23 (ddd, *J* = 11.8, 11.1, 6.6 Hz, 5H), 6.94 – 6.82 (m, 5H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.7, 157.9, 152.5, 149.9, 147.2, 143.8, 133.1, 132.3, 131.5, 129.9, 128.9, 128.7, 127.4, 125.8, 125.7, 123.8, 120.8, 119.6, 117.9, 114.4, 69.2 (One aromatic carbon not visible).

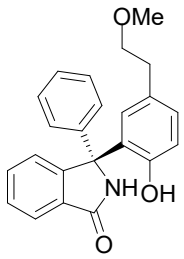
**m.p.** 155.5−156.8 °C

**$\nu_{max}$** (neat): 3417, 3058, 2342, 1670, 1480, 1219, 697, 581 $cm^{-1}$.

**HRMS:** $[M+H]^+$ calcd for $C_{26}H_{19}BrNO_3$, 472.0548; found, 472.0546.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 81:19 e.r. $t_{R1}$ = 7.4 min (minor), $t_{R2}$ = 14.0 min (major).

**(*S*)-3-(2-hydroxy-5-(2-methoxyethoxy)phenyl)-3-phenylisoindolin-1-one (21)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.13 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-(2-methoxyethoxy)phenol (111.0 mg, 0,66 mmol, 5 eq). The reaction was stirred at 40 °C for 12 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **21** as white solid. Yield: 42 mg (89 %).

**$^{1}$H NMR** (300 MHz, DMSO-d6) δ 9.58 (s, 1H), 8.91 (s, 1H), 7.72 (t, *J* = 6.7 Hz, 2H), 7.61 (dd, *J* = 10.8, 4.4 Hz, 1H), 7.50 (dd, *J* = 12.8, 5.3 Hz, 1H), 7.29 – 7.11 (m, 5H), 7.04 (dd, *J* = 10.7, 2.6 Hz, 2H), 6.75 (d, *J* = 8.0 Hz, 1H), 3.42 (t, *J* = 6.9 Hz, 2H), 3.18 (s, 3H), 2.65 (t, *J* = 6.9 Hz, 2H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.8, 153.9, 150.2, 144.3, 132.2, 131.5, 129.8, 129.1, 128.8, 128.6, 127.9, 127.9, 127.2, 126.0, 125.6, 123.8, 116.9, 73.4, 69.5, 58.2, 35.1.

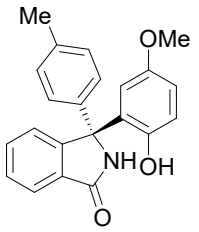
**m.p.** 237.1−240.9 °C

**$\nu_{max}$** (neat): 3403, 2360, 1672, 1248, 1112, 699, 606 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{23}H_{22}NO_3$, 360.1600; found, 360.1584.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 71:29 e.r. $t_{R1}$ = 6.9 min (minor), $t_{R2}$ = 11.1 min (major).

**(*S*)-3-(2-hydroxy-5-methoxyphenyl)-3-(p-tolyl)isoindolin-1-one (22)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-2** (31.7 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-methoxyphenol (81.9 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in ethyl acetate-petroleum ether 2:1 as eluent to afford product **22** as a white solid. Yield: 43 mg (94 %), 62:38 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 8.85 (s, 1H), 7.75 – 7.67 (m, 2H), 7.60 (td, *J* = 7.5, 1.3 Hz, 1H), 7.50 (td, *J* = 7.4, 0.9 Hz, 1H), 7.03 (d, *J* = 9.0 Hz, 4H), 6.75 (dt, *J* = 8.5, 3.2 Hz, 3H), 3.61 (s, 3H), 2.23 (s, 3H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.2, 151.3, 149.8, 148.9, 140.5, 135.8, 131.7, 131.0, 128.8, 128.7, 128.3, 125.4, 125.2, 123.2, 116.8, 114.6, 114.0, 113.1, 68.7, 55.2, 20.5.

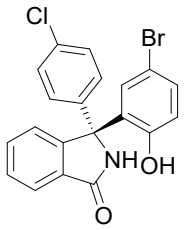
**m.p.** 301.0−305.0 °C

**$\nu_{max}$** (neat): 3394, 2918, 2359, 1680, 1421, 1212, 1035, 754, 633, 489 $cm^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{22}H_{20}NO_3$, 346.1443; found, 346.1431.

Enantiomeric ratio determined by HPLC [Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 62:38 e.r. $t_{R1}$ = 26.9 min (minor), $t_{R2}$ = 39.8 min (major).

**(*S*)-3-(5-bromo-2-hydroxyphenyl)-3-(4-chlorophenyl)isoindolin-1-one (23)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-9** (34.2 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-bromophenol (114.2 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 21 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **23** as a white solid. Yield: 30 mg (55 %), 74:26 e.r.

**$^1$H NMR** (600 MHz, DMSO-d6) δ 10.20 (s, 1H), 9.17 (s, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.73 (d, *J* = 7.5 Hz, 1H), 7.65 (td, *J* = 7.6, 1.0 Hz, 1H), 7.55 (dd, *J* = 11.5, 4.0 Hz, 1H), 7.34 (tt, *J* = 4.6, 2.5 Hz, 3H), 7.26 (d, *J* = 2.5 Hz, 1H), 7.17 – 7.13 (m, 2H), 6.80 (d, *J* = 8.6 Hz, 1H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.6, 155.2, 149.3, 142.7, 132.7, 132.5, 132.1, 131.5, 130.9, 129.8, 129.3, 128.7, 127.7, 125.6, 123.9, 119.2, 110.0, 68.6.

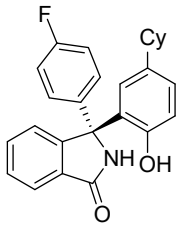
**m.p.** 288.7–291.2 °C

**$\nu_{max}$** (neat): 2922, 2360, 1674, 1504, 1226, 1158, 826, 566 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{22}H_{18}ClNO_2$ 413.9818; found 413.9806.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 74:26 e.r. $t_{R1}$ = 6.5 min (minor), $t_{R2}$ = 11.8 min (major).

**(*S*)-3-(5-cyclohexyl-2-hydroxyphenyl)-3-(4-fluorophenyl)isoindolin-1-one (24)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-8** (32.2 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 4-cyclohexylphenol (116.3 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 9 days. Reaction mixture was evaporated and purified by column chromatography in ethyl acetate-petroleum ether 2:1 as eluent to afford product **24** as a white solid. Yield: 50 mg (94 %), 71:29 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.54 (s, 1H), 8.99 (s, 1H), 7.77 (d, *J* = 7.6 Hz, 1H), 7.71 (d, *J* = 7.4 Hz, 1H), 7.63 (td, *J* = 7.5, 1.2 Hz, 1H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.16 – 6.99 (m, 6H), 6.75 (d, *J* = 8.0 Hz, 1H), 2.31 (mf, *J* = 11.5 Hz, 1H), 1.78 – 1.65 (m, 5H), 1.34 – 1.17 (m, 5H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.6, 153.5, 150.3, 137.9, 132.3, 131.5, 128.9, 127.8, 127.8, 127.7, 127.7, 127.5, 125.9, 125.7, 123.8, 116.8, 115.3, 115.2, 69.1, 43.5, 34.8, 34.7, 26.8, 26.1.

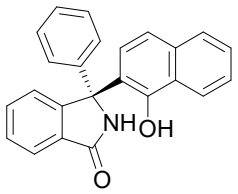
**m.p.** 272.9−275.1 °C

**$\nu_{max}$** (neat): 2922, 2360, 1674, 1504, 1226, 1158, 826, 566 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{26}H_{25}FNO_2$ 402.1869; found 402.1871.

Enantiomeric ratio determined by HPLC [Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 71:29 e.r. $t_{R1}$ = 8.5 min (major), $t_{R2}$ = 9.8 min (minor).

**(*S*)-3-(1-hydroxynaphthalen-2-yl)-3-phenylisoindolin-1-one (25)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and naphthalen-1-ol (95.2 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 16 hours. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **25** as a white solid. Yield: 45 mg (97 %), 61:39 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.72 (s, 1H), 9.13 (s, 1H), 8.26 – 8.16 (m, 1H), 7.88 – 7.77 (m, 2H), 7.74 (d, *J* = 7.4 Hz, 1H), 7.67 – 7.60 (m, 1H), 7.56 – 7.44 (m, 3H), 7.38 (q, *J* = 8.7 Hz, 2H), 7.30 – 7.19 (m, 5H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 168.9, 151.3, 150.7, 145.0, 134.5, 132.4, 131.6, 128.8, 128.8, 128.2, 127.2, 126.7, 126.0, 125.9, 125.6, 125.6, 125.6, 124.1, 123.8, 122.4, 119.3, 69.9.

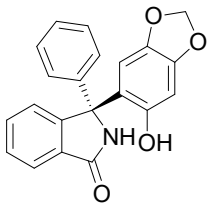
**m.p.** 258.4−259.1 °C

**$\nu_{max}$** (neat): 3446, 2359, 1673, 1349, 1195, 750, 580 cm$^{-1}$.

**HRMS:** $[M+H]^+$ calcd for $C_{24}H_{18}NO_2$ 352.1338; found 352.1331.

Enantiomeric ratio determined by HPLC [Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 61:39 e.r. $t_{R1}$ = 12.4 min (minor), $t_{R2}$ = 14.1 min (major).

**(*S*)-3-(6-hydroxybenzo[d][1,3]dioxol-5-yl)-3-phenylisoindolin-1-one (26)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-1** (30.0 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and benzo[d][1,3]dioxol-5-ol (91.2 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 16 hours. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **26** as a white solid. Yield: 39 mg (86 %), 57:43 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 9.52 (s, 1H), 8.86 (s, 1H), 7.69 (d, *J* = 7.9 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.3 Hz, 1H), 7.22 (dt, *J* = 16.1, 7.9 Hz, 5H), 6.64 (s, 1H), 6.44 (s, 1H), 5.90 (d, *J* = 4.0 Hz, 2H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 168.7, 150.8, 150.4, 147.6, 144.5, 139.5, 132.3, 131.5, 128.8, 128.6, 127.2, 125.8, 125.6, 123.7, 120.2, 107.6, 101.5, 99.1, 69.2.

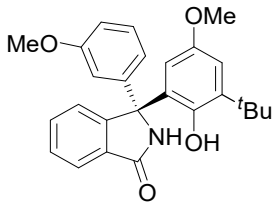
**m.p.** 192.6–194.9 °C

**$\nu_{max}$** (neat): 3246, 1681, 1439, 1183, 831, 700, 557 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{21}H_{16}NO_4$ 346.1079; found 346.1080.

Enantiomeric ratio determined by HPLC [Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 57:43 e.r. $t_{R1}$ = 19.5 min (minor), $t_{R2}$ = 24.0 min (major).

**(*S*)-3-(3-(tert-butyl)-2-hydroxy-5-methoxyphenyl)-3-(3-methoxyphenyl)isoindolin-1-one (27)**




To a flame-dried Schlenk tube containing a solution of isoindolinone alchohol **IS-4** (33.8 mg, 0.133 mmol, 1 eq) in chloroform (3 mL) was added chiral phosphoric acid **CPA6** (9.3 mg, 0.013 mmol, 10 mol%) and 2-(tert-butyl)-4-methoxyphenol (119.0 mg, 0,665 mmol, 5 eq). The reaction was stirred at 40 °C for 14 days. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **27** as a white solid. Yield: 22 mg (41 %), 58:42 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 8.96 (s, 1H), 7.73 (dd, *J* = 4.4, 3.7 Hz, 1H), 7.60 (dd, *J* = 7.2, 1.5 Hz, 1H), 7.54 – 7.48 (m, 2H), 7.17 (t, *J* = 8.0 Hz, 1H), 6.82 (d, *J* = 3.1 Hz, 1H), 6.76 – 6.73 (m, 1H), 6.73 – 6.65 (m, 3H), 6.42 (d, *J* = 3.1 Hz, 1H), 3.64 (s, 3H), 3.58 (s, 3H), 1.31 (s, 9H).

**$^{13}$C NMR** (75 MHz, DMSO-d6) δ 169.3, 159.7, 153.0, 150.5, 147.0, 146.2, 143.4, 134.8, 132.4, 130.9, 130.0, 128.9, 126.0, 123.9, 117.3, 112.4, 112.2, 111.8, 111.0, 69.9, 55.4, 35.2, 30.4.

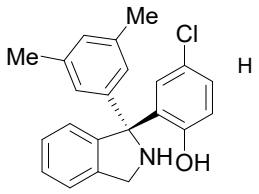
**m.p.** 216.3–219.9 °C

$\nu_{max}$ (neat): 3246, 2955, 2359, 1693, 1435, 1254, 1041, 727 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{26}H_{28}NO_4$ 418.2018; found 418.2024.

Enantiomeric ratio determined by HPLC [OD (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm). 58:42 e.r. $t_{R1}$ = 17.2 min (major), $t_{R2}$ = 18.4 min (minor).

**(S)-4-chloro-2-(1-(3,5-dimethylphenyl)isoindolin-1-yl)phenol (30)**




To a flame-dried Schlenk tube containing a solution of product **3** (8 mg, 0.022 mmol, 1 eq) in toluene (3 mL) under argon was added borane dimethyl sulfide complex (0.02 mL, 0.220 mmol, 10 eq). The reaction was stirred at 110 °C for 16 h and then cool down to room temperature. MeOH (0.5 mL) was added and stirred at reflux for 3 h. Reaction mixture was evaporated and purified by column chromatography in dichloromethane-acetone 20:1 as eluent to afford product **30** as a white solid. Yield: 5 mg (65 %), 82:18 e.r.

**$^1$H NMR** (300 MHz, DMSO-d6) δ 7.42 – 7.37 (m, 1H), 7.35 – 7.28 (m, 2H), 7.12 (dd, *J* = 8.6, 2.6 Hz, 2H), 6.95 (s, 1H), 6.68 (dd, *J* = 9.3, 3.7 Hz, 4H), 4.06 (q, *J* = 14.2 Hz, 2H), 2.19 (s, 6H).

**$^{13}$C NMR** (151 MHz, DMSO-d6) δ 157.84, 144.37, 143.34, 140.95, 137.20, 129.15, 128.48, 128.45, 128.33, 127.69, 127.12, 125.26, 124.52, 122.79, 120.96, 118.67, 76.47, 48.67, 21.07.

**m.p.** 181.8−185.4 °C

$\boldsymbol{\nu}_{\mathbf{max}}$ (neat): 3333, 2914, 2513, 2362, 1486, 1249, 762, 708 cm$^{-1}$.

**HRMS**: $[M+H]^+$ calcd for $C_{22}H_{20}ClNO$ 350.1312; found 350.1339.

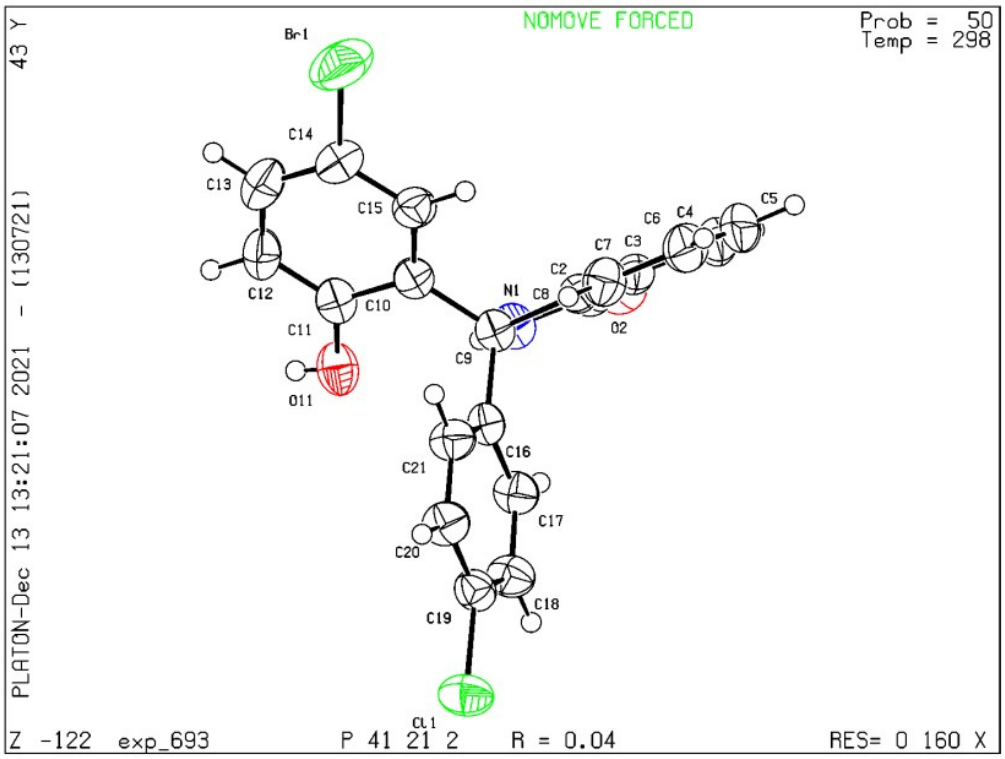
Enantiomeric ratio determined by HPLC [Daicel Chiralpak IA-3 (2.1 mmI.D. x 250 mmL)], 5 % IPA in hexane, flow rate 0.3 mL/min, 225 nm). 82:18 e.r. $t_{R1}$ = 5.6 min (major), $t_{R2}$ = 6.8 min (minor).

# 4. X-ray crystallography

Single crystal measurement was performed on an Oxford Diffraction Xcalibur Nova R (microfocus Cu tube) at room temperature [293(2) K]. Friedel pairs were measured to unambiguously establish absolute configuration of the stereogenic centre. Program package CrysAlis PRO [CrysAlis] was used for data reduction and multi-scan absorption correction.

The crystal structure was solved by direct methods using SHELXS-97. Non-hydrogen atoms were refined isotropically followed by anisotropic refinement by full matrix least-squares calculations based on F2 using SHELXL.[5] Hydrogen atoms were first located in the Fourier difference map, then positioned geometrically and allowed to ride on their respective parent atoms. Diagrams and publication materials were generated using ORTEP3,[6] PLATON[7] and Mercury®.

Absolute configuration of the product **23** was determined by solving its crystal structure. Absolute configuration of other products was assigned by analogy. Colourless crystals of **23** suitable for crystallographic analysis were obtained by diffusion method from ethyl acetate/pentane. The crystal structure has been deposited at the Cambridge Crystallographic Centre (deposition number: CCDC 2088459). The data can be obtained free of charge at www.ccdc.cam.ac.uk/getstructures



# 5. References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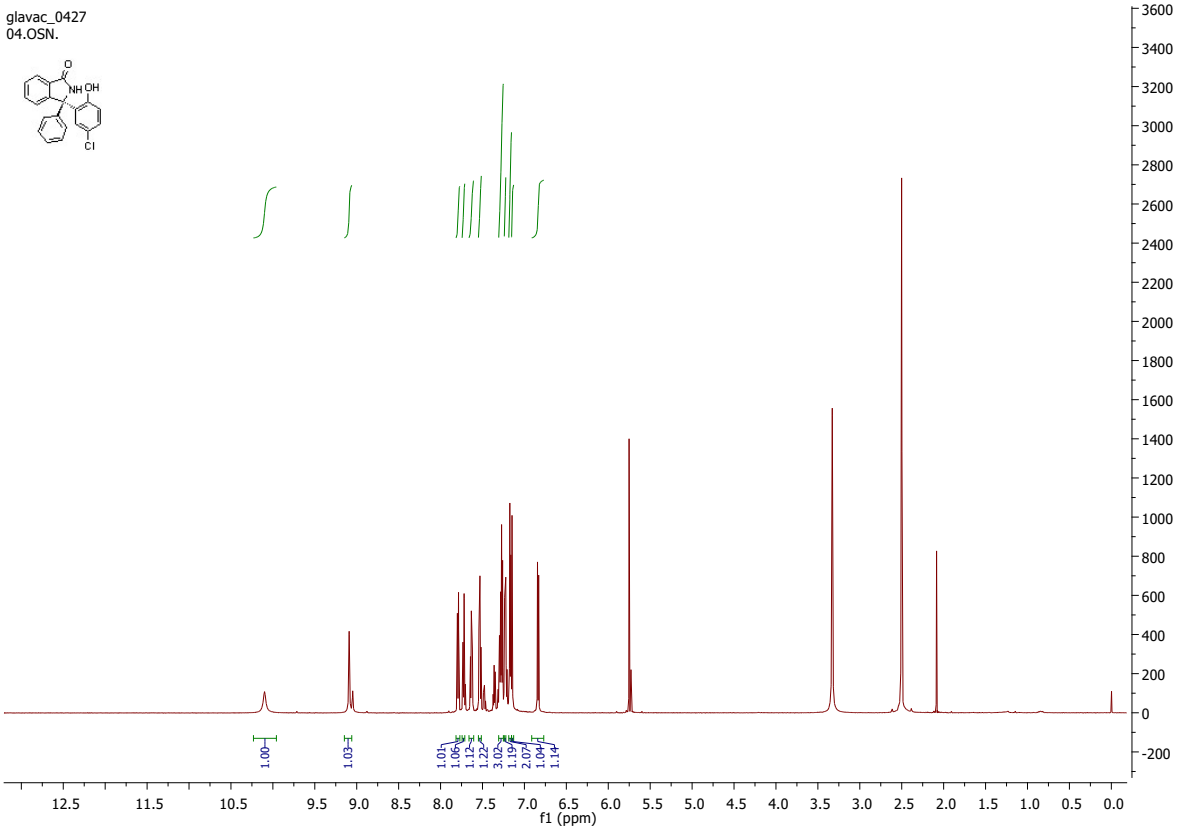
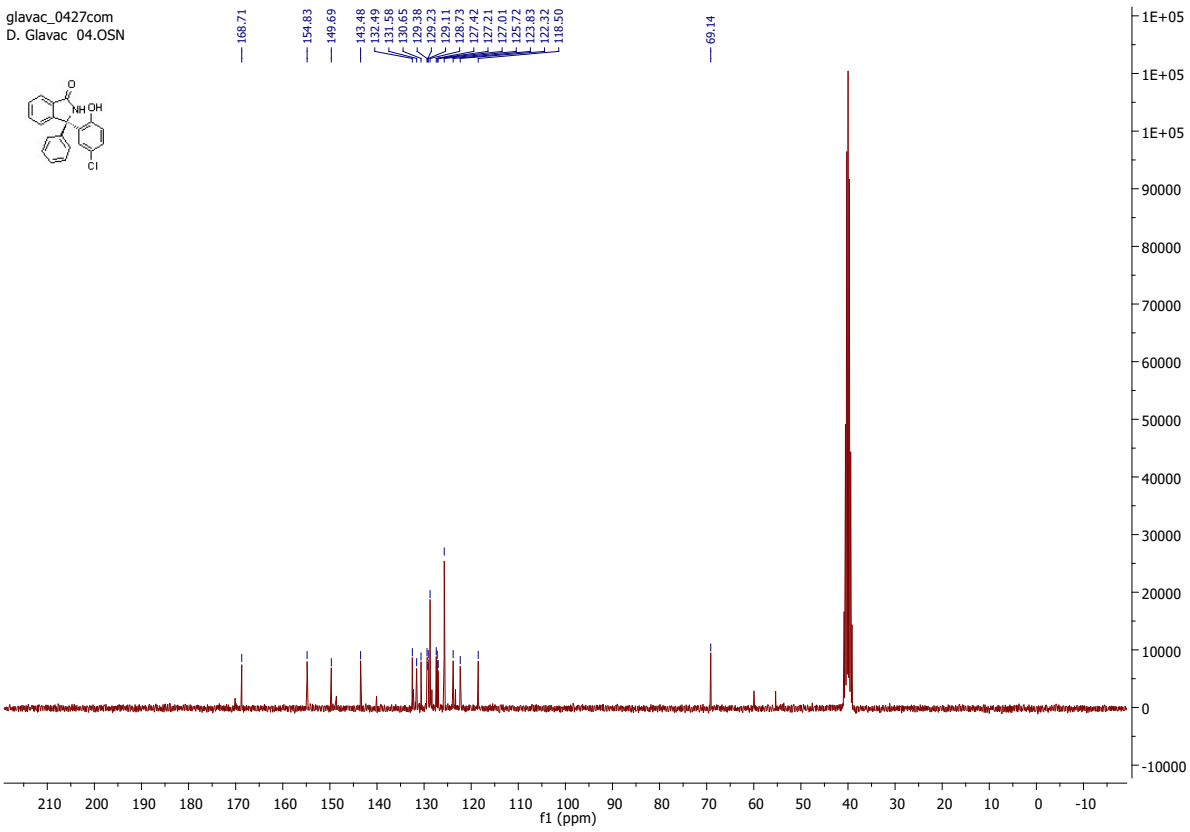
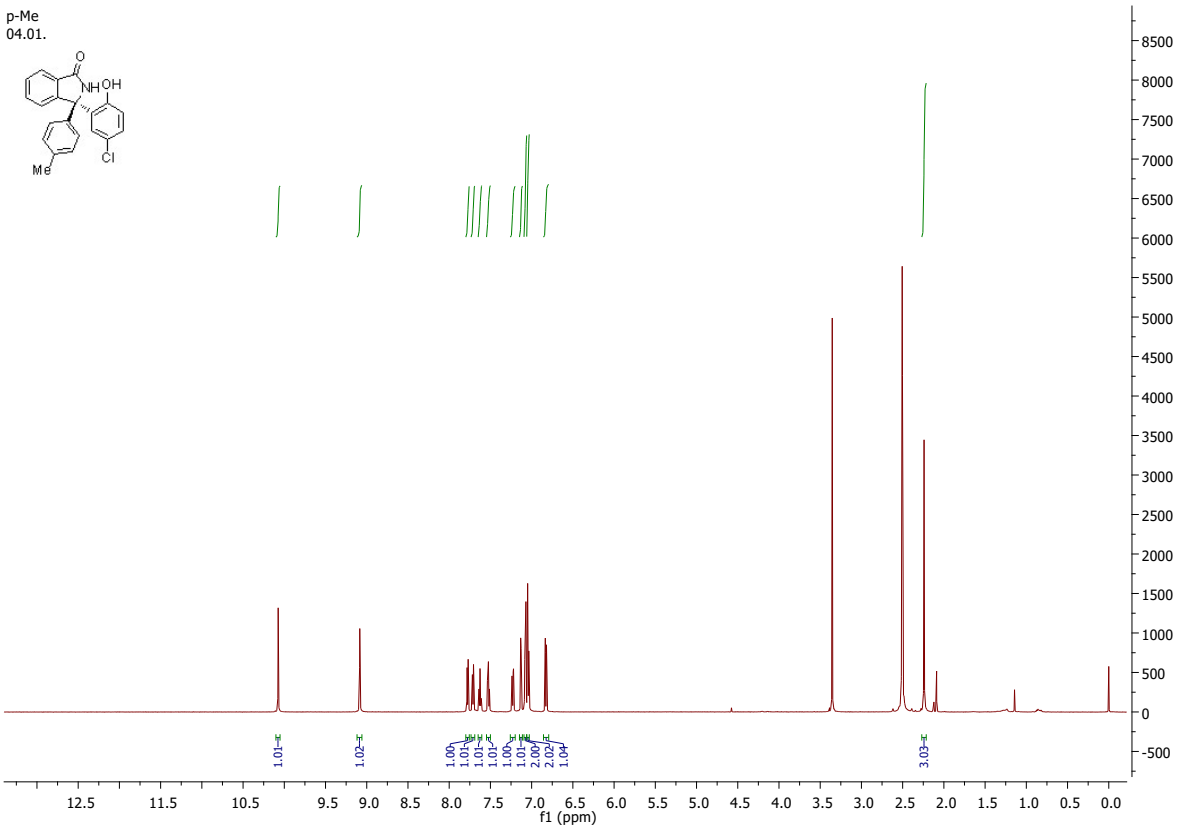
# 6. NMR Spectra

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2.00
2.02
1.04
3.03
8500
8000
7500
7000
6500
6000
5500
5000
4500
4000
3500
3000
2500
2000
1500
1000
500
0
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11.5
10.5
9.5
9.0
8.5
8.0
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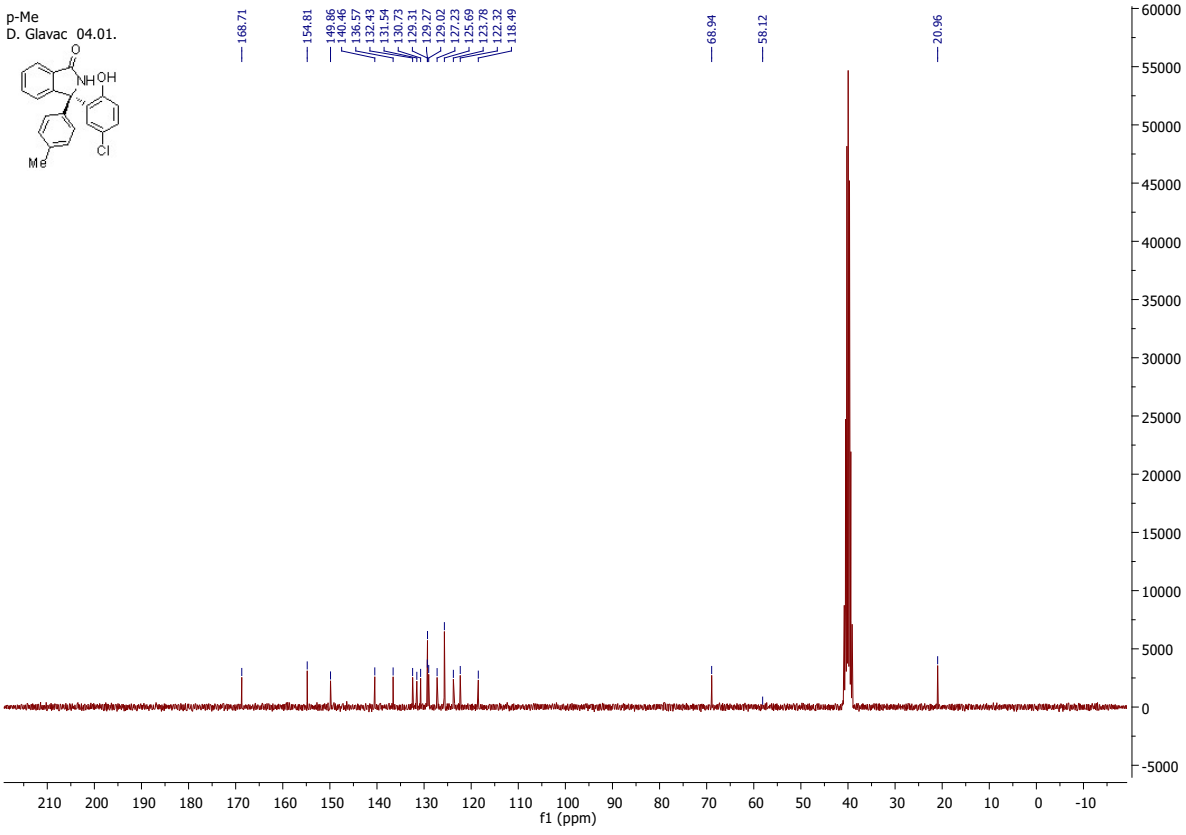
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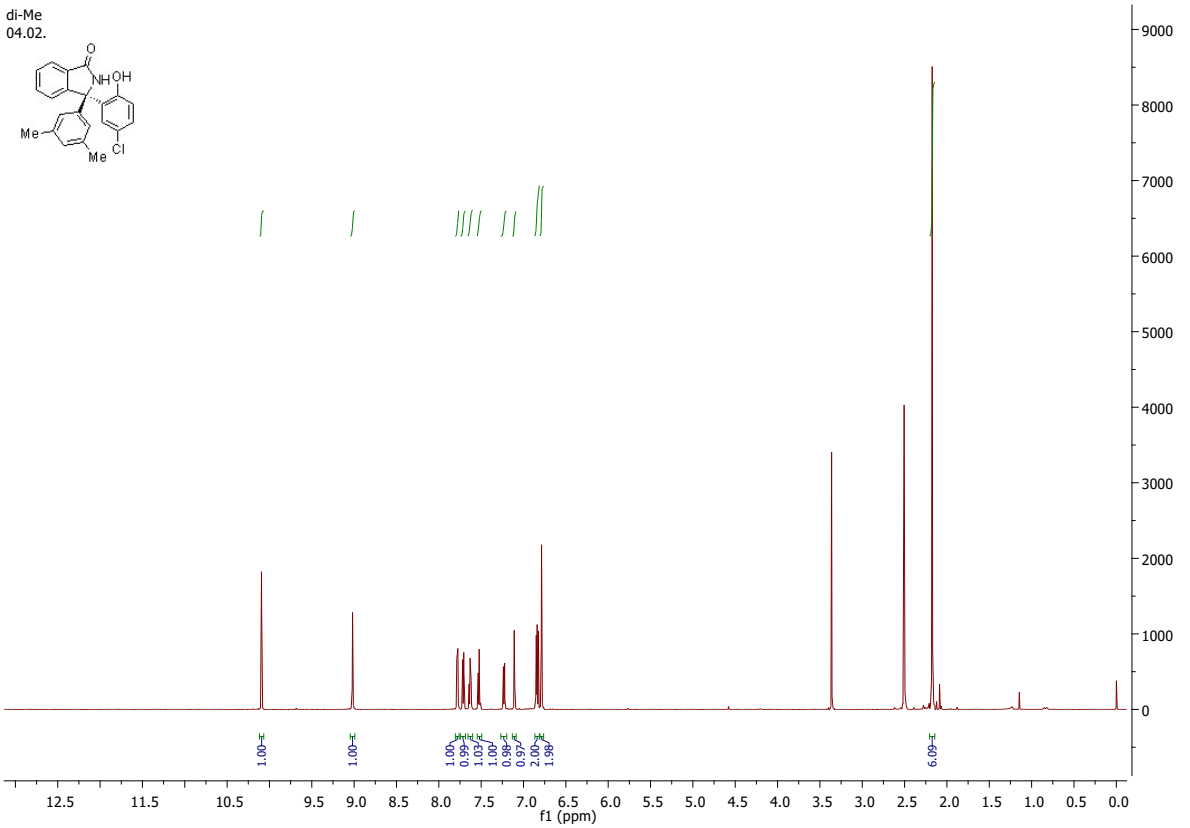
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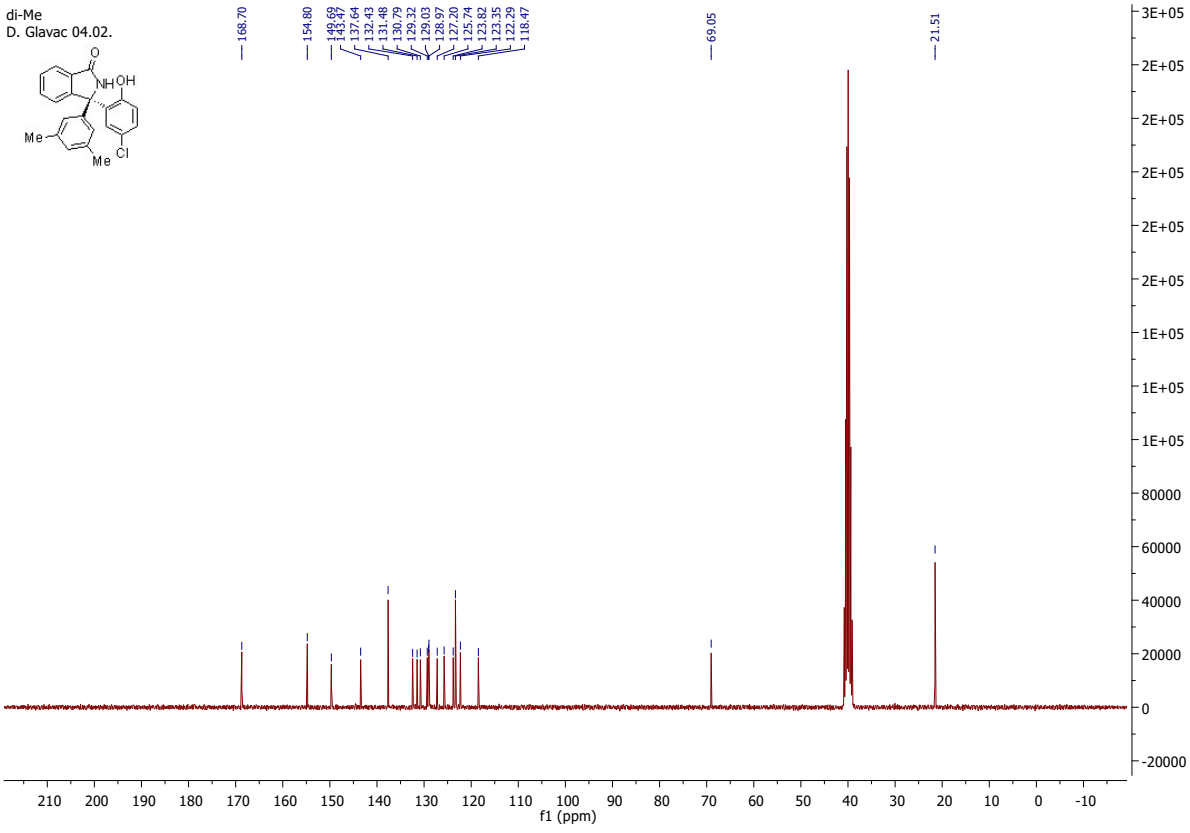
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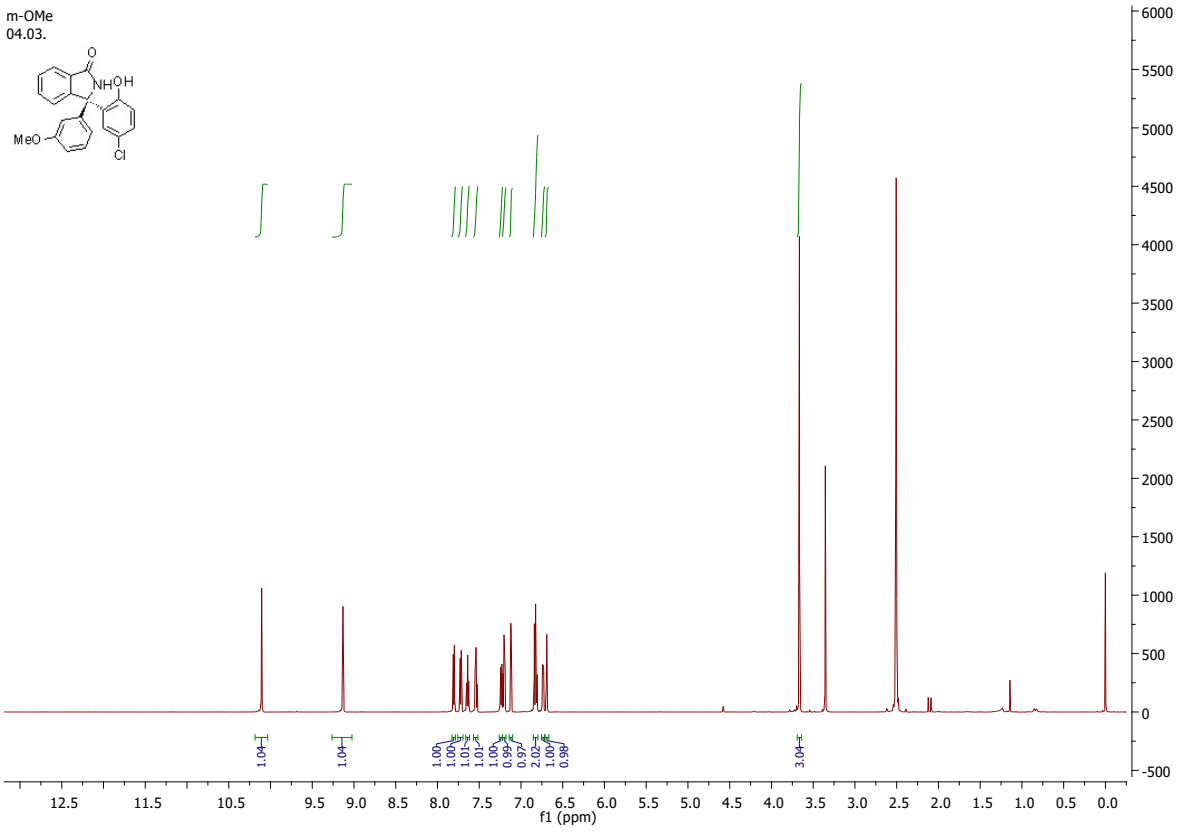
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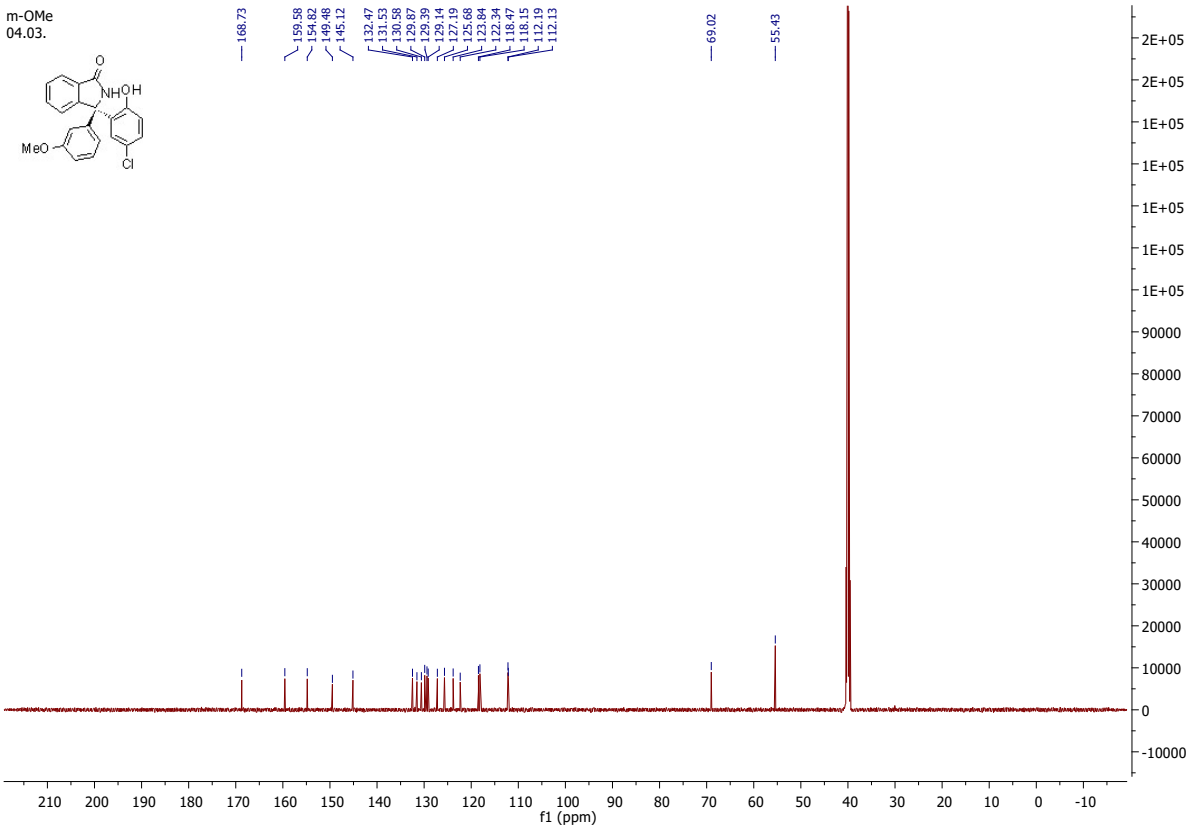
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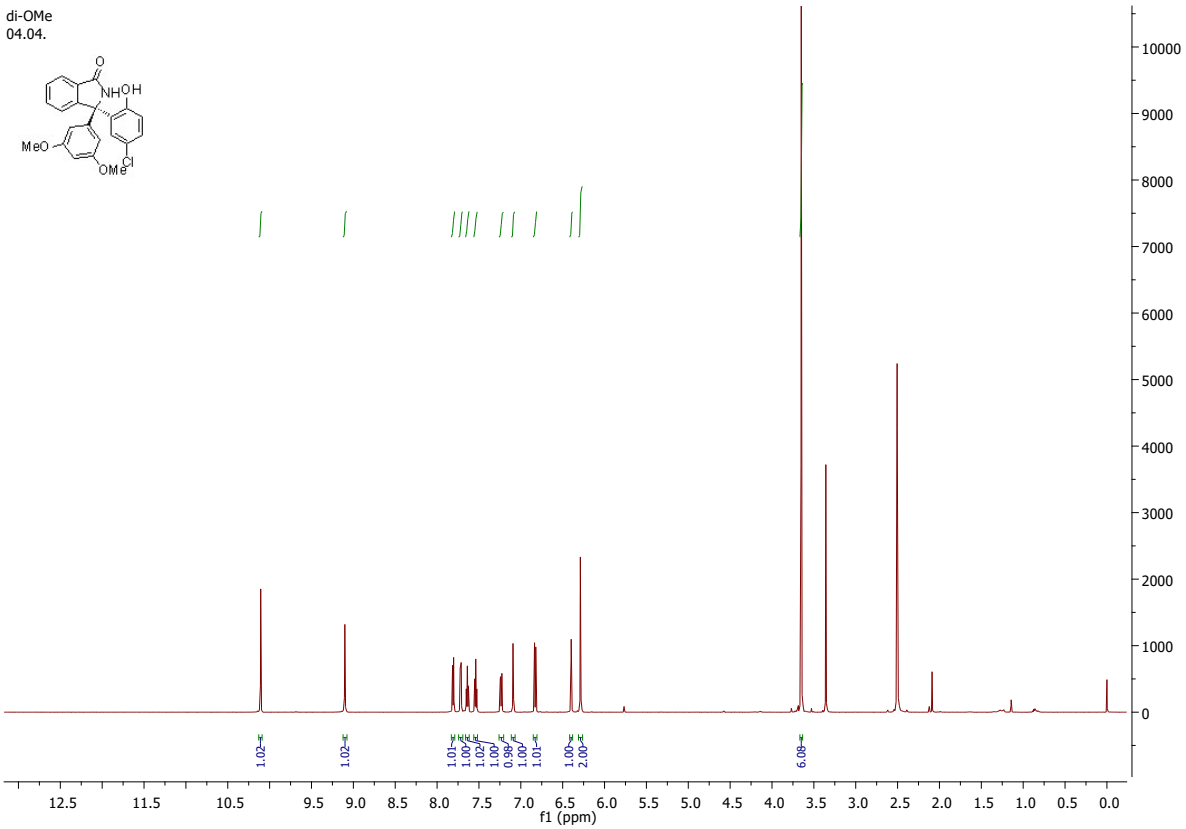
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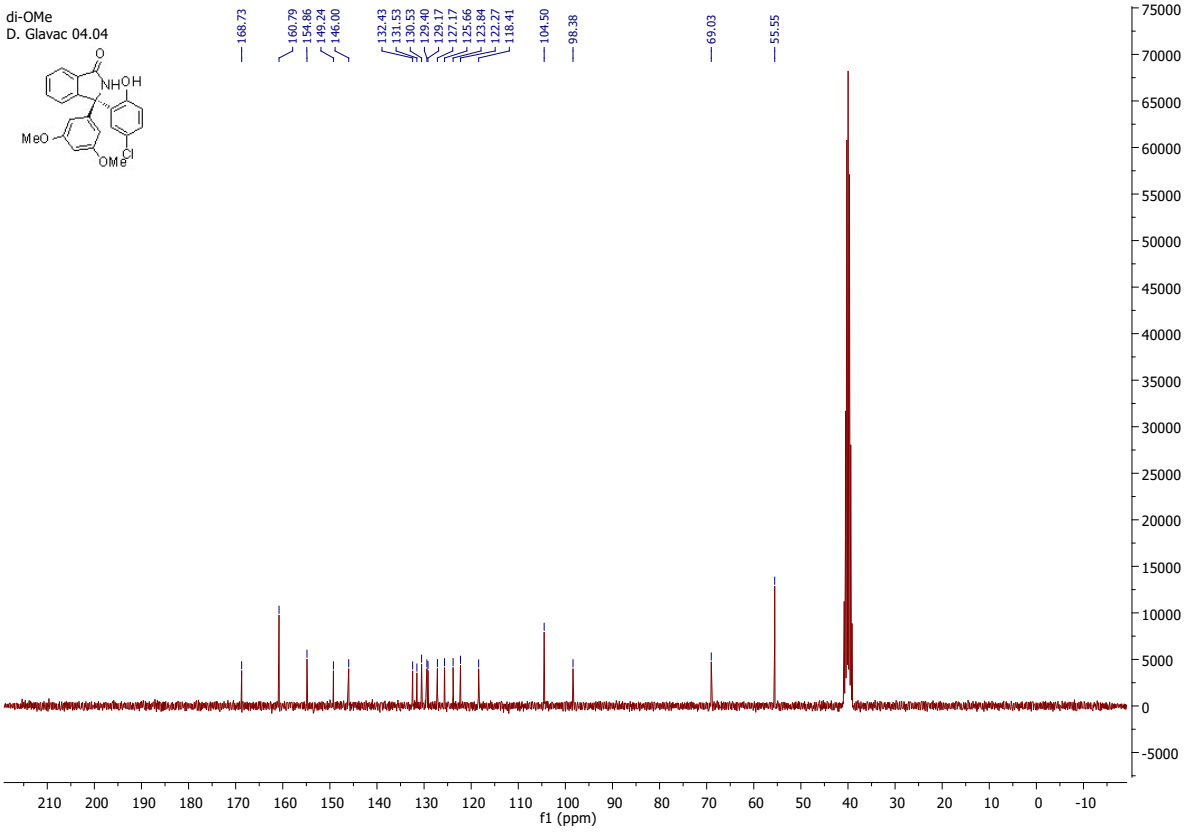
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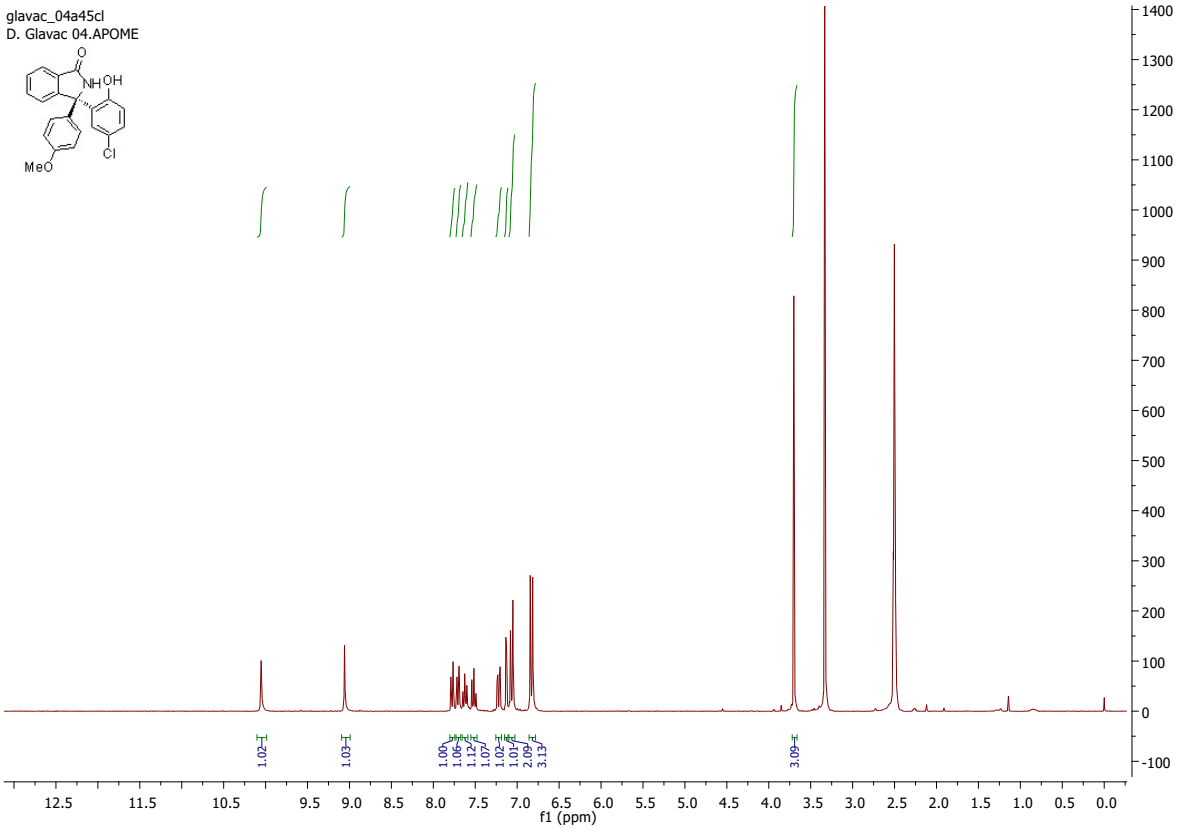
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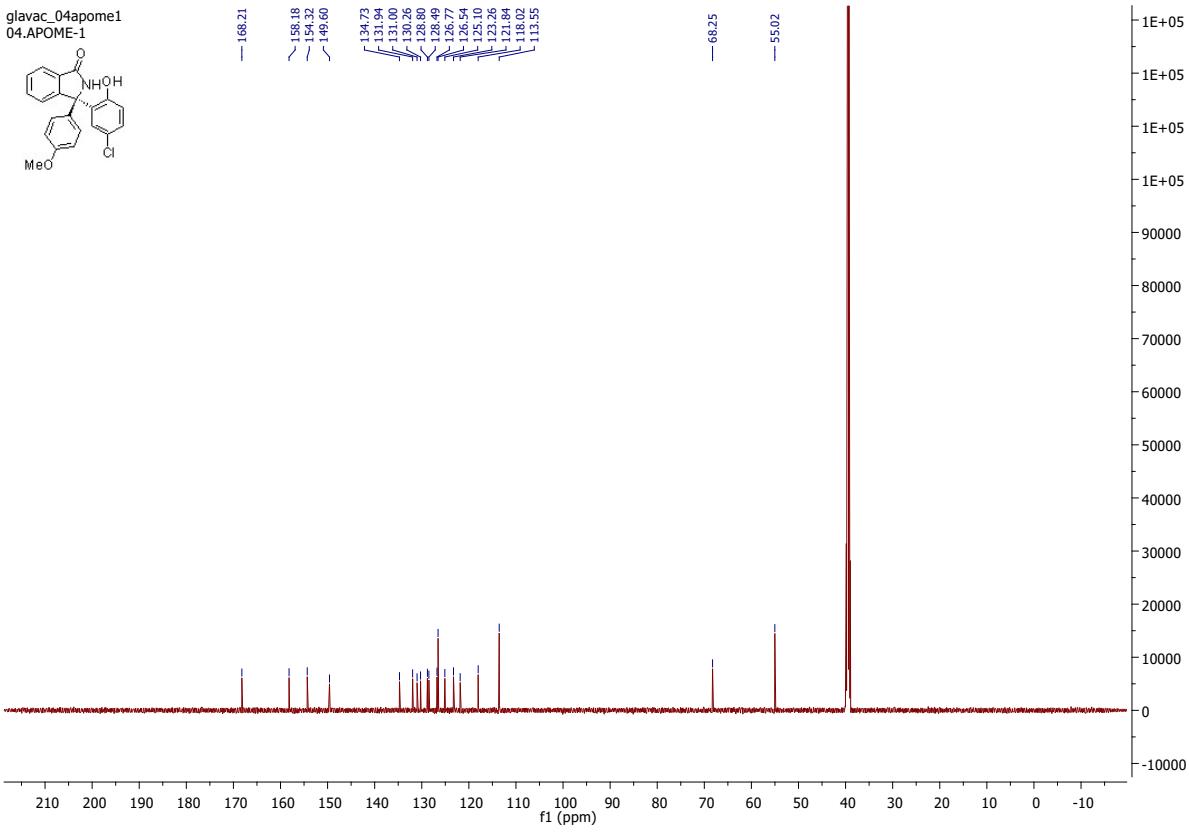
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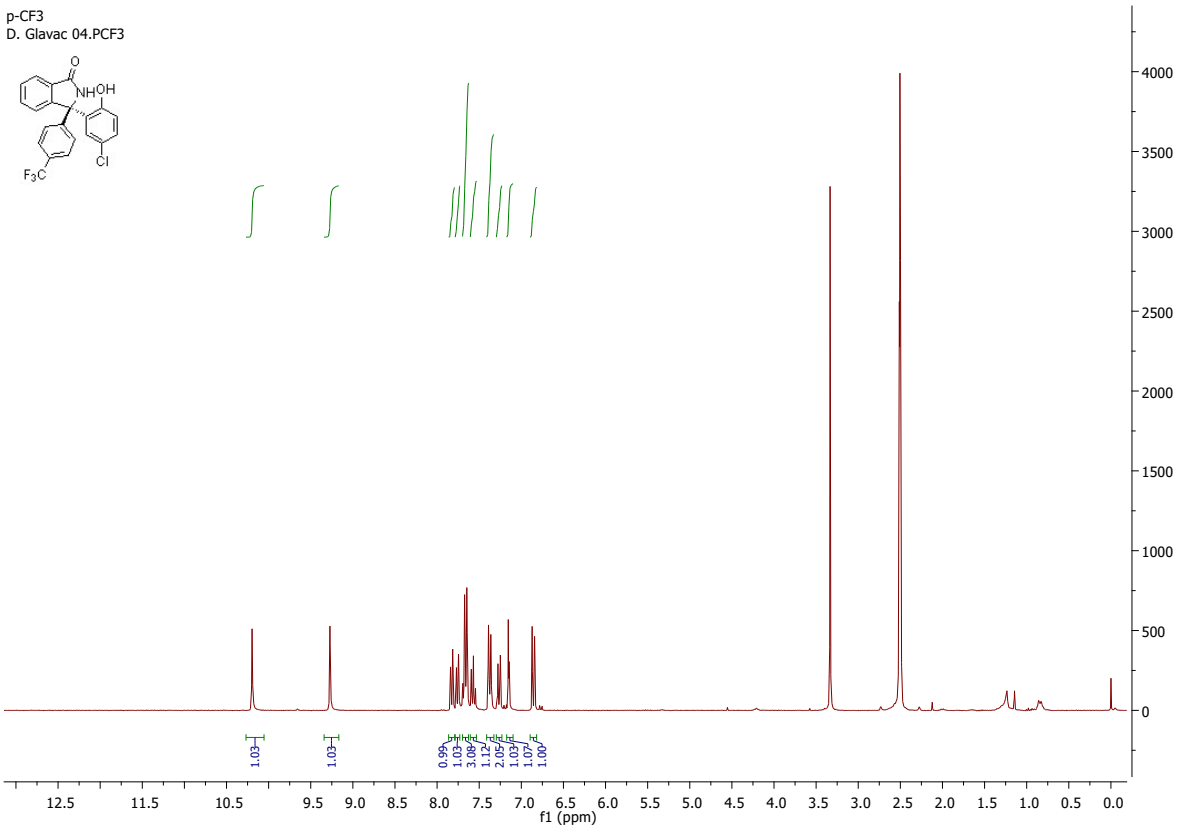
f1 (ppm)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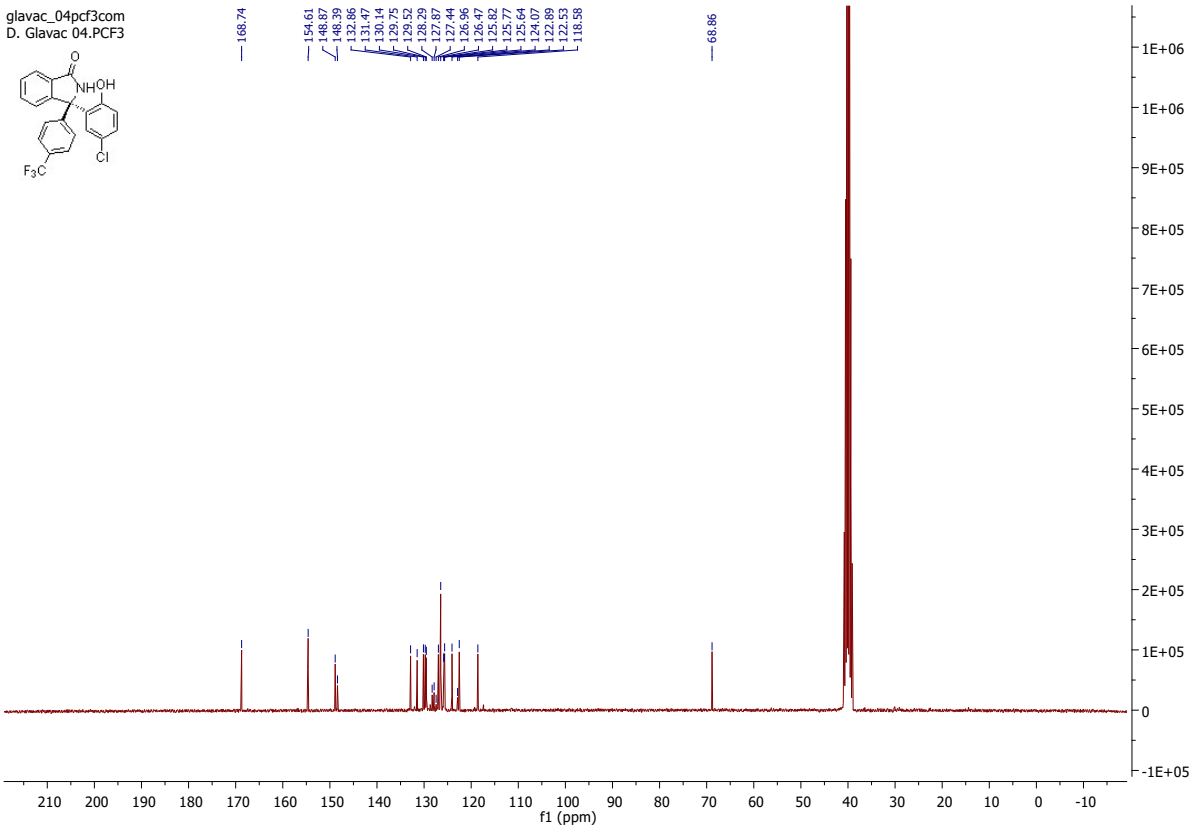
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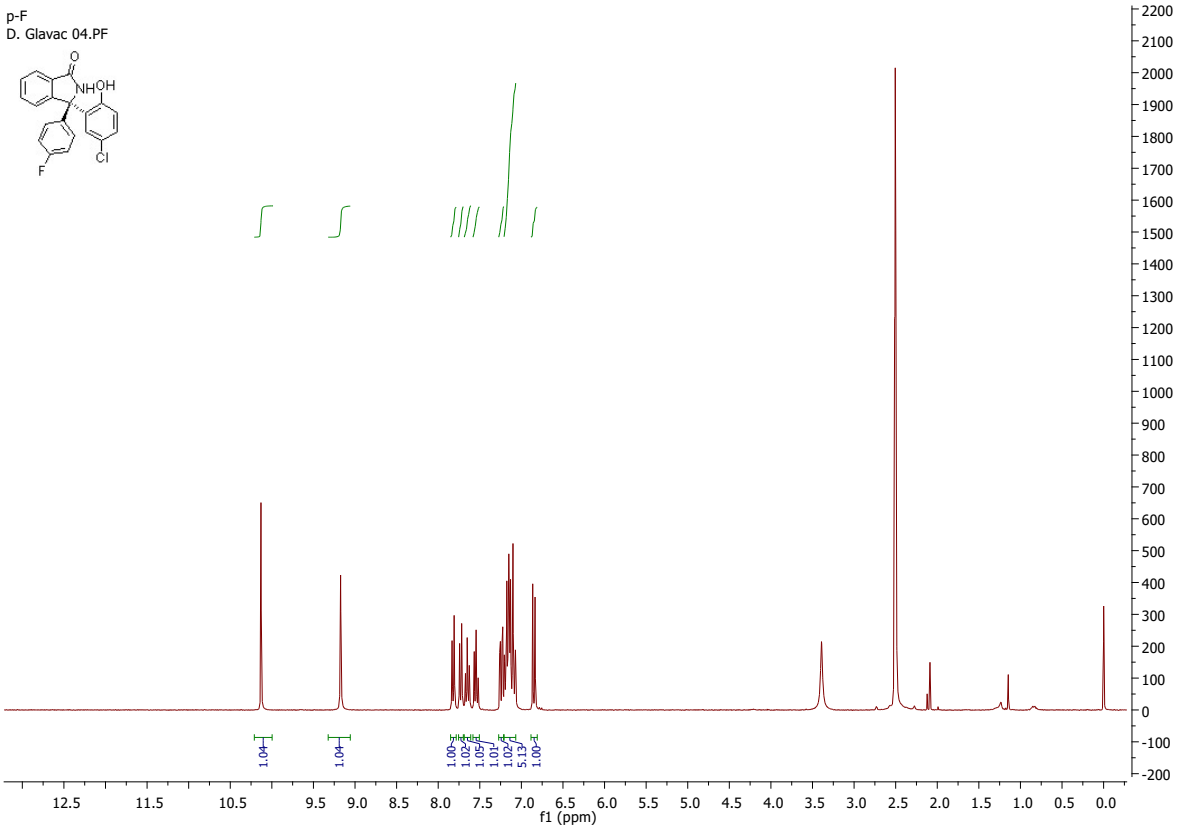
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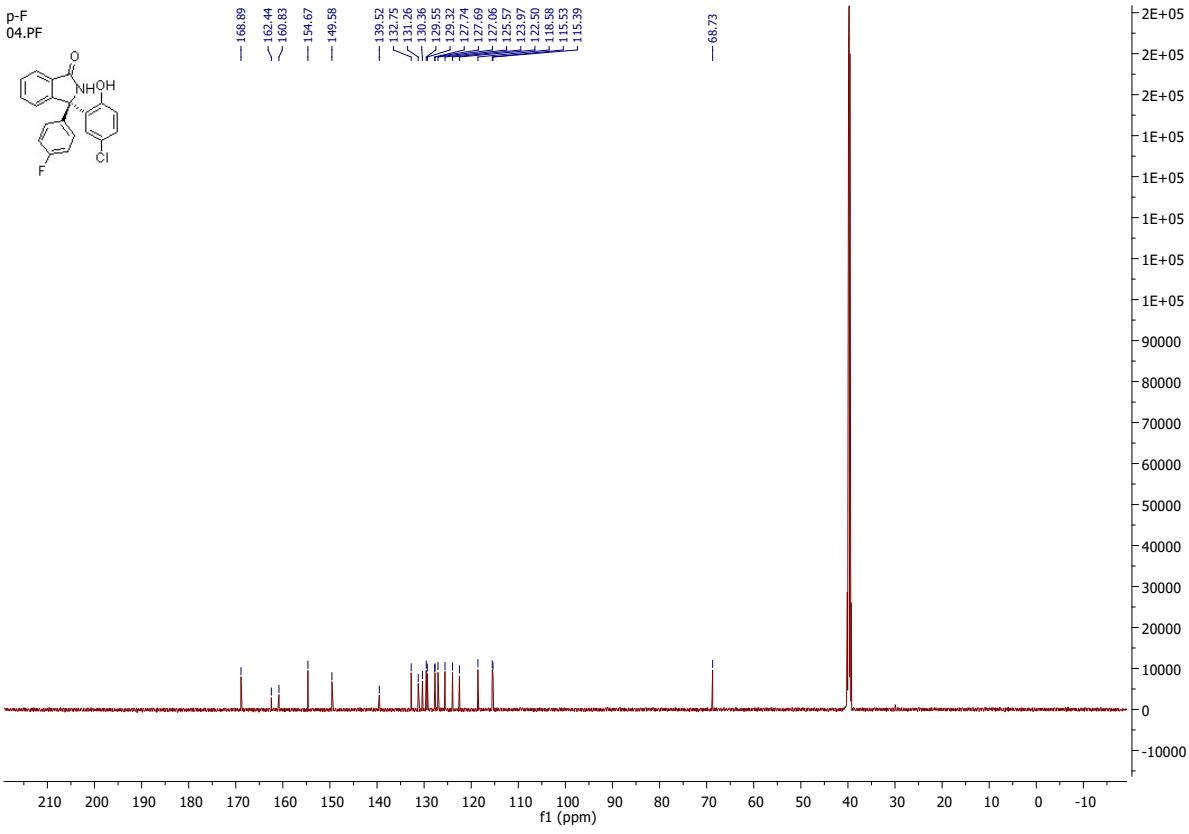
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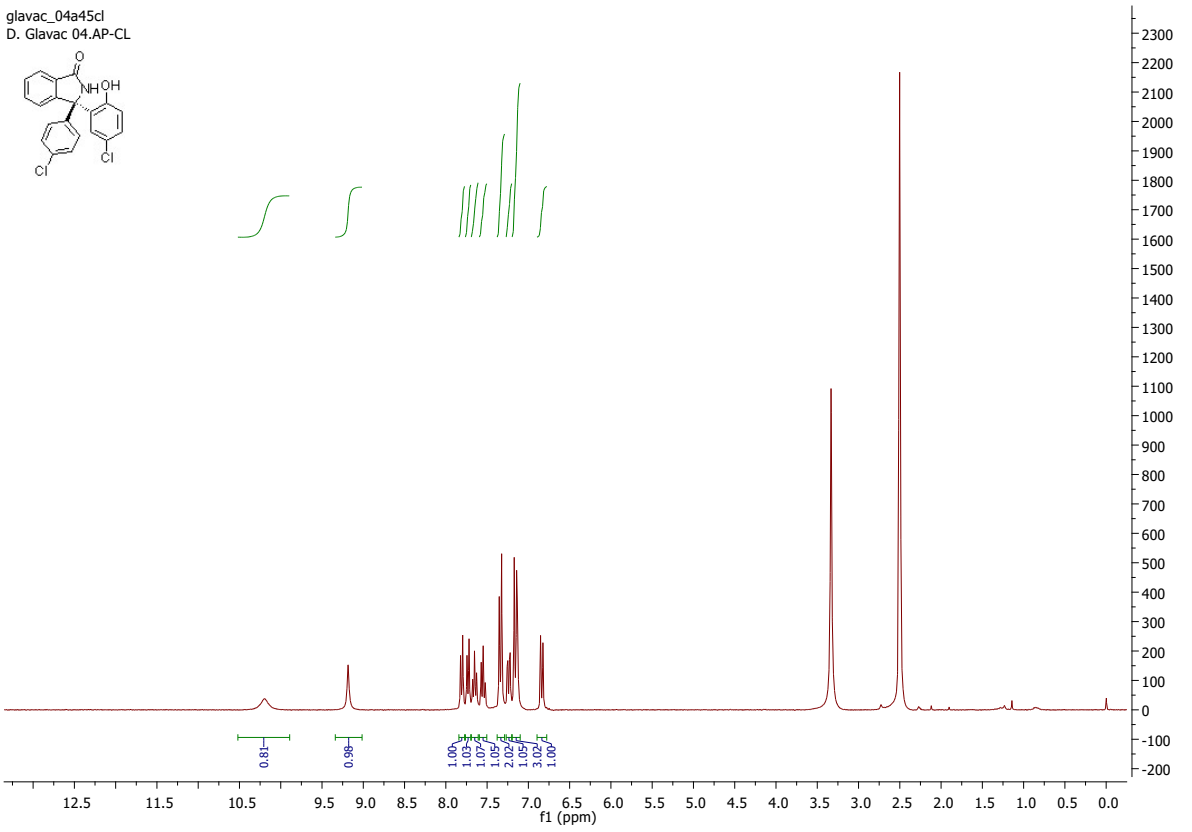
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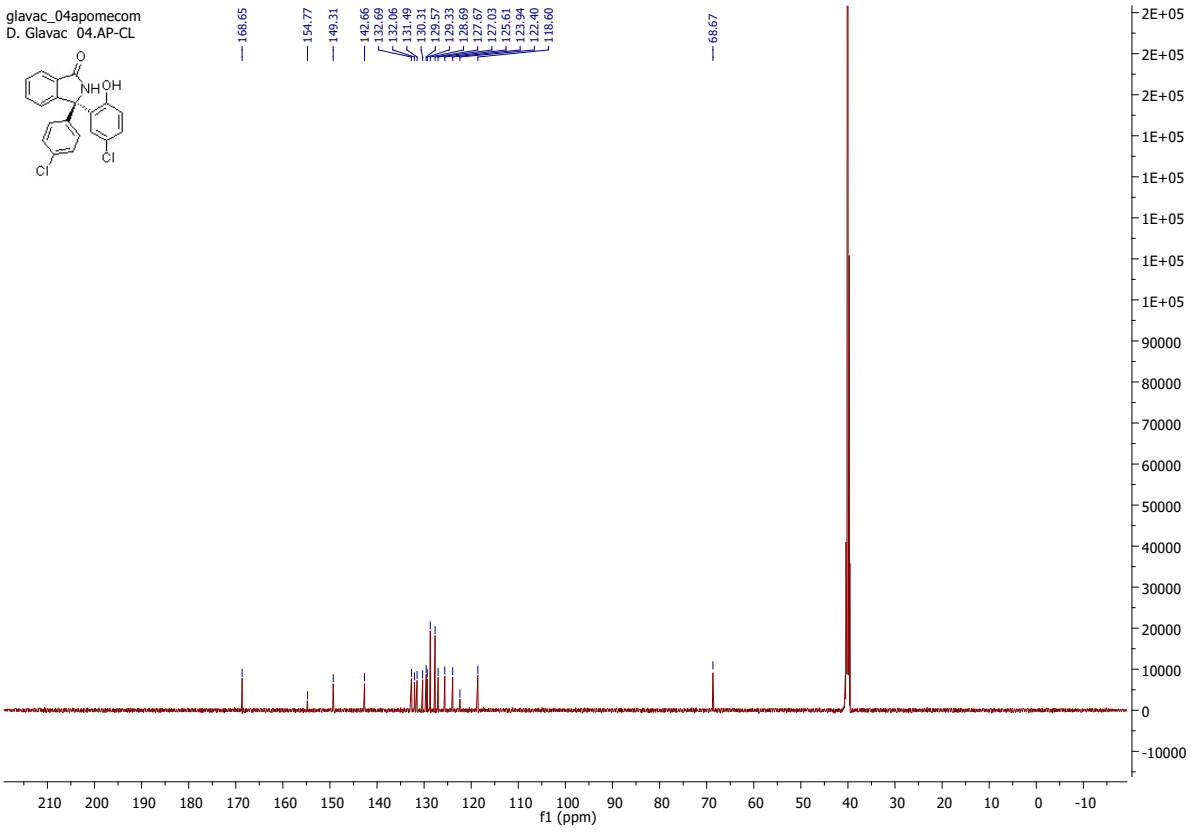
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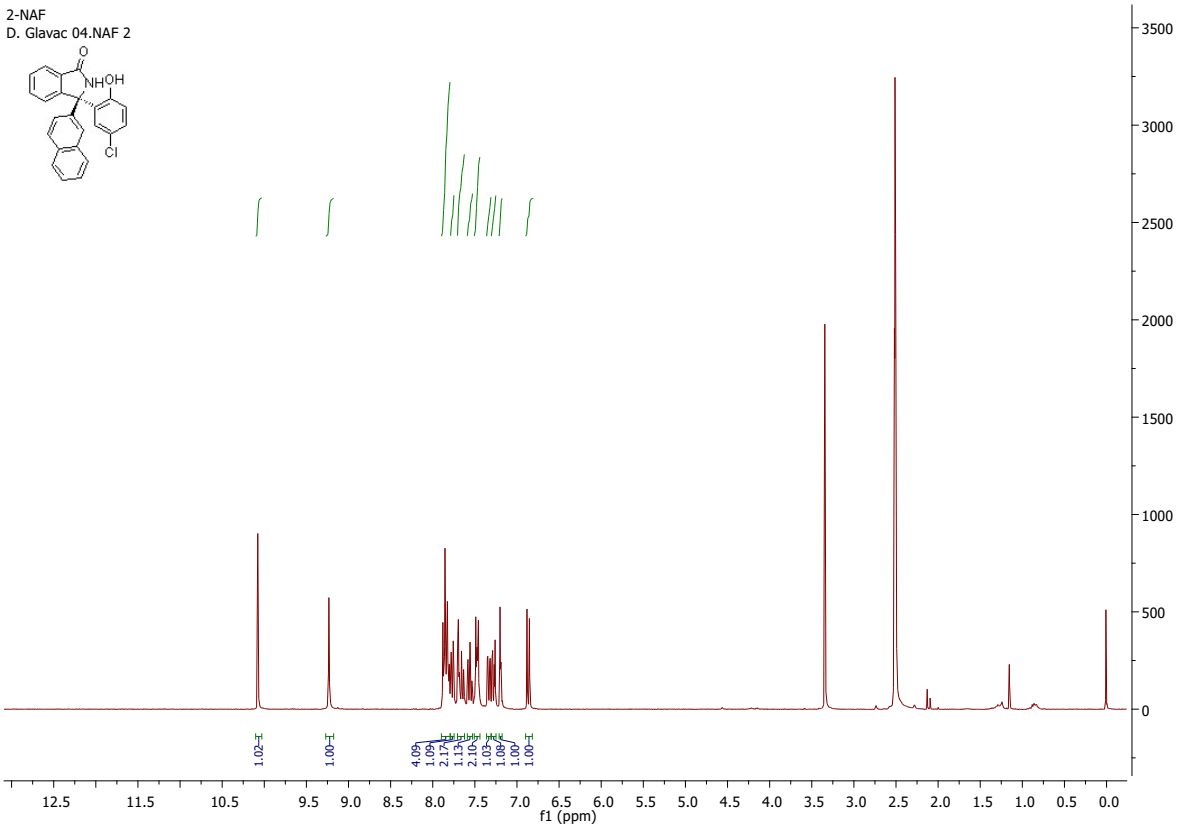
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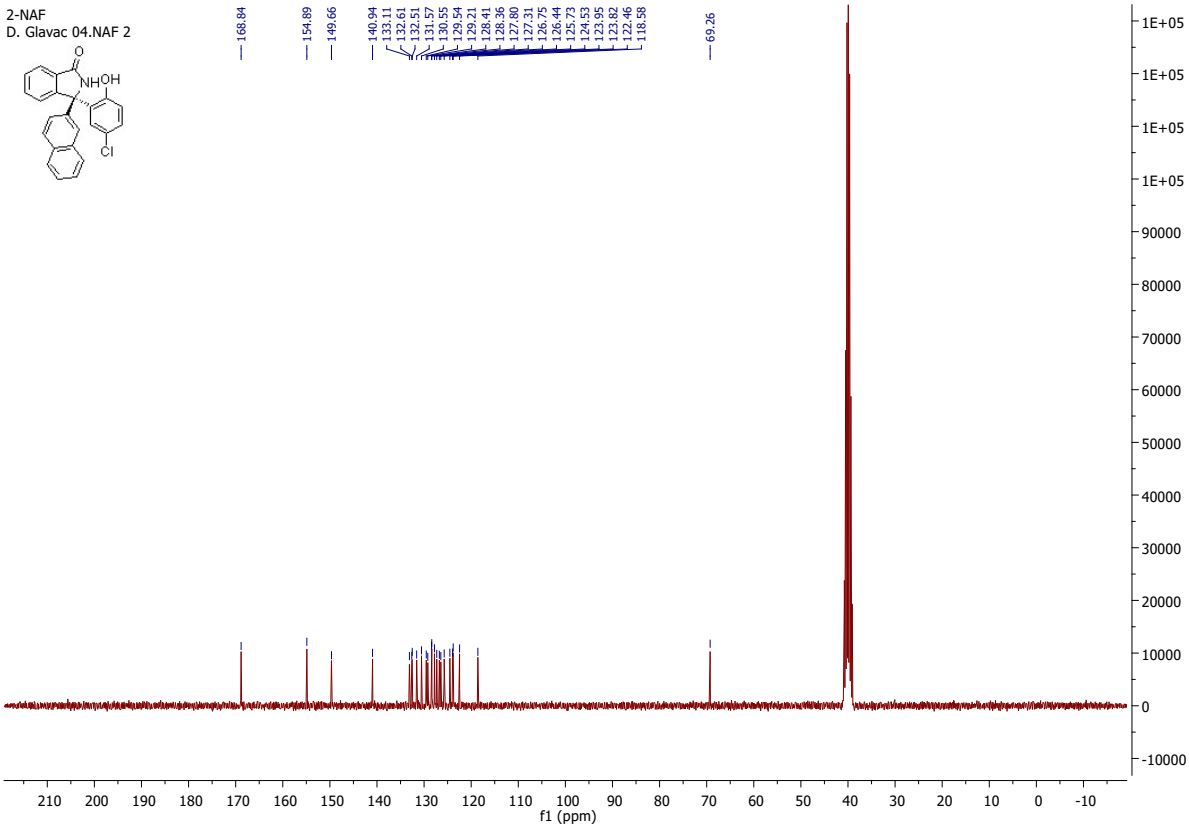
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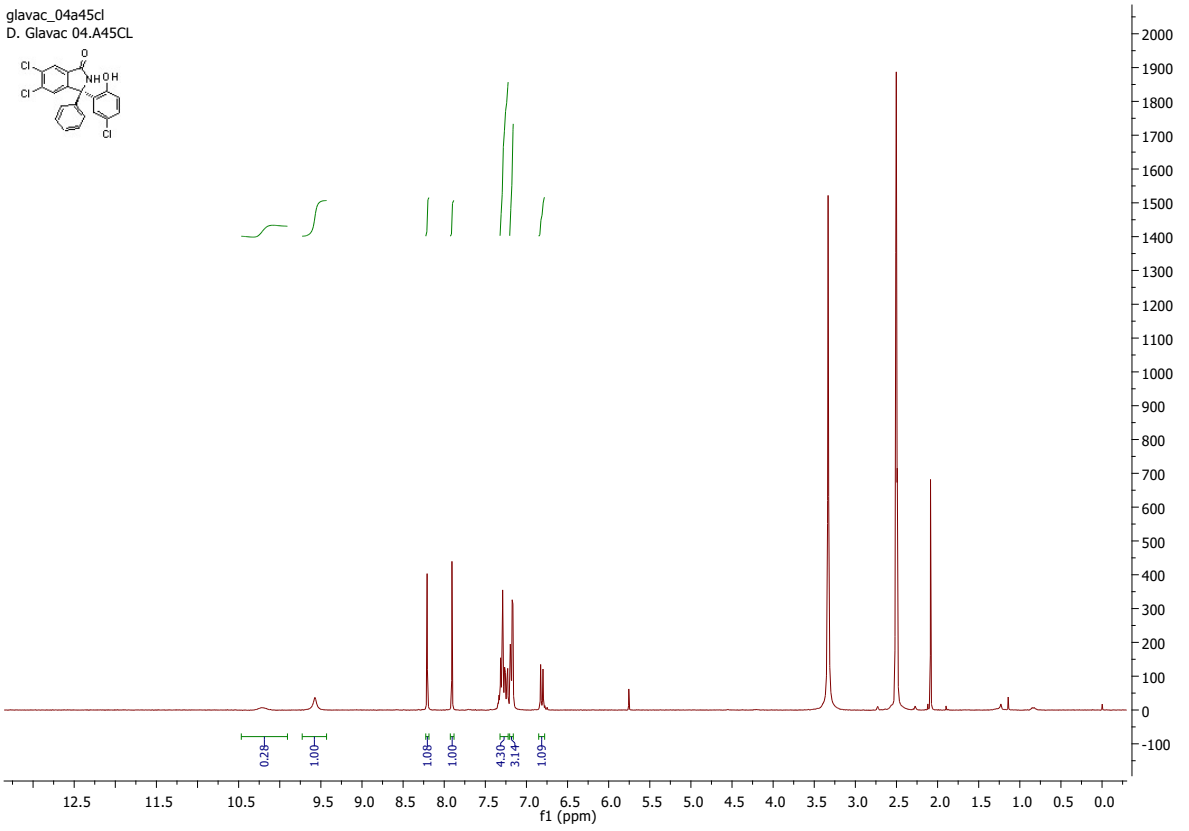
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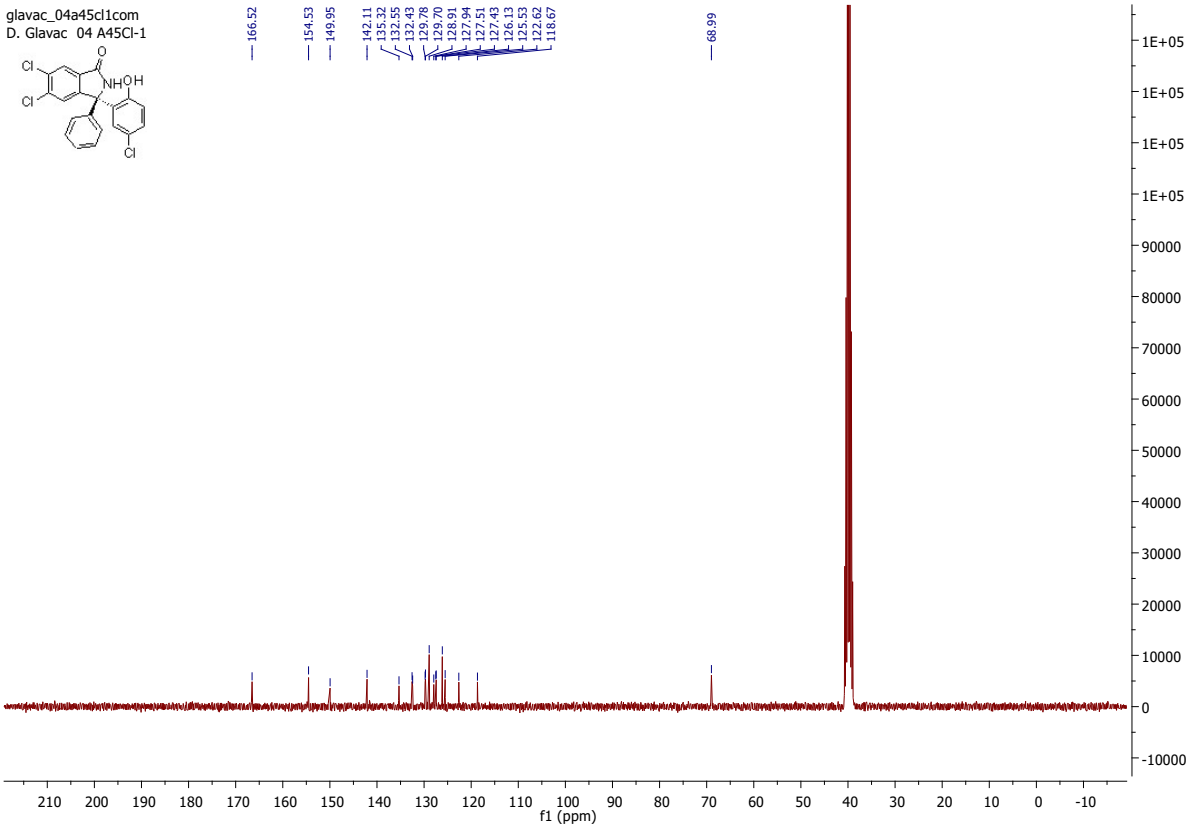
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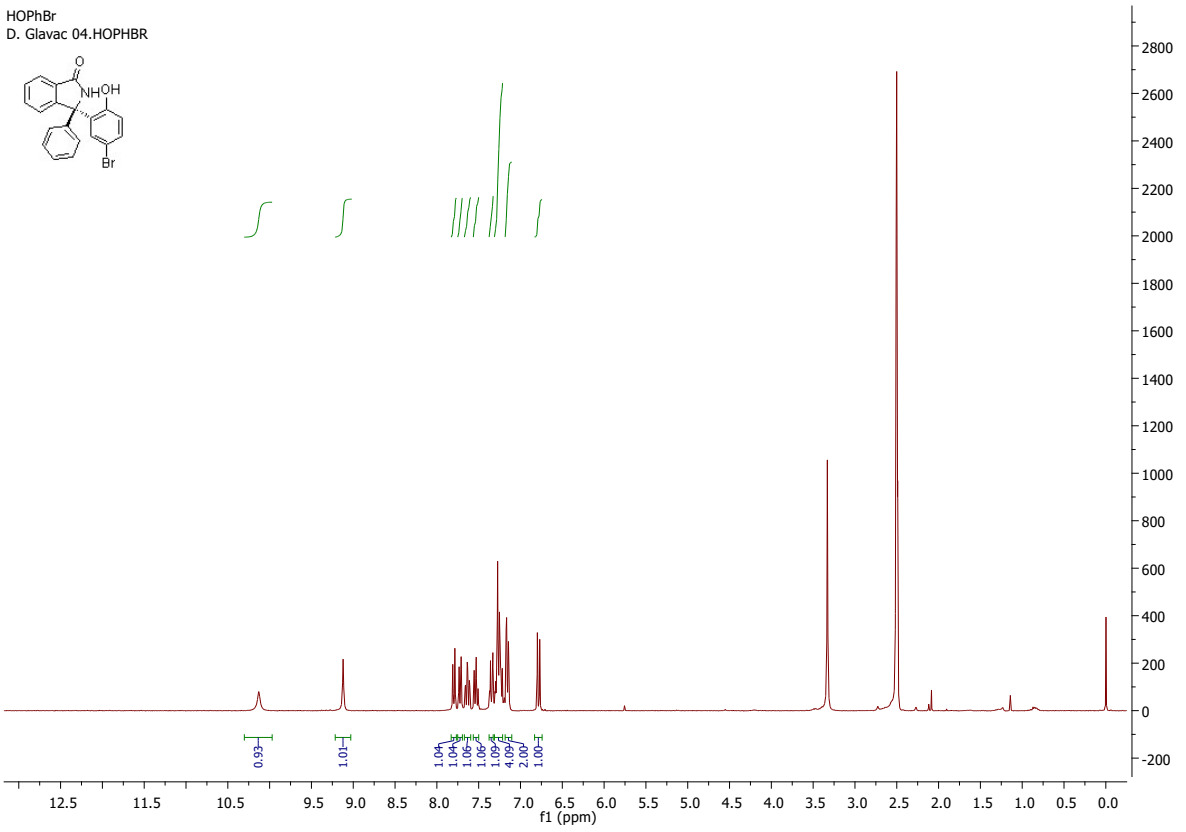
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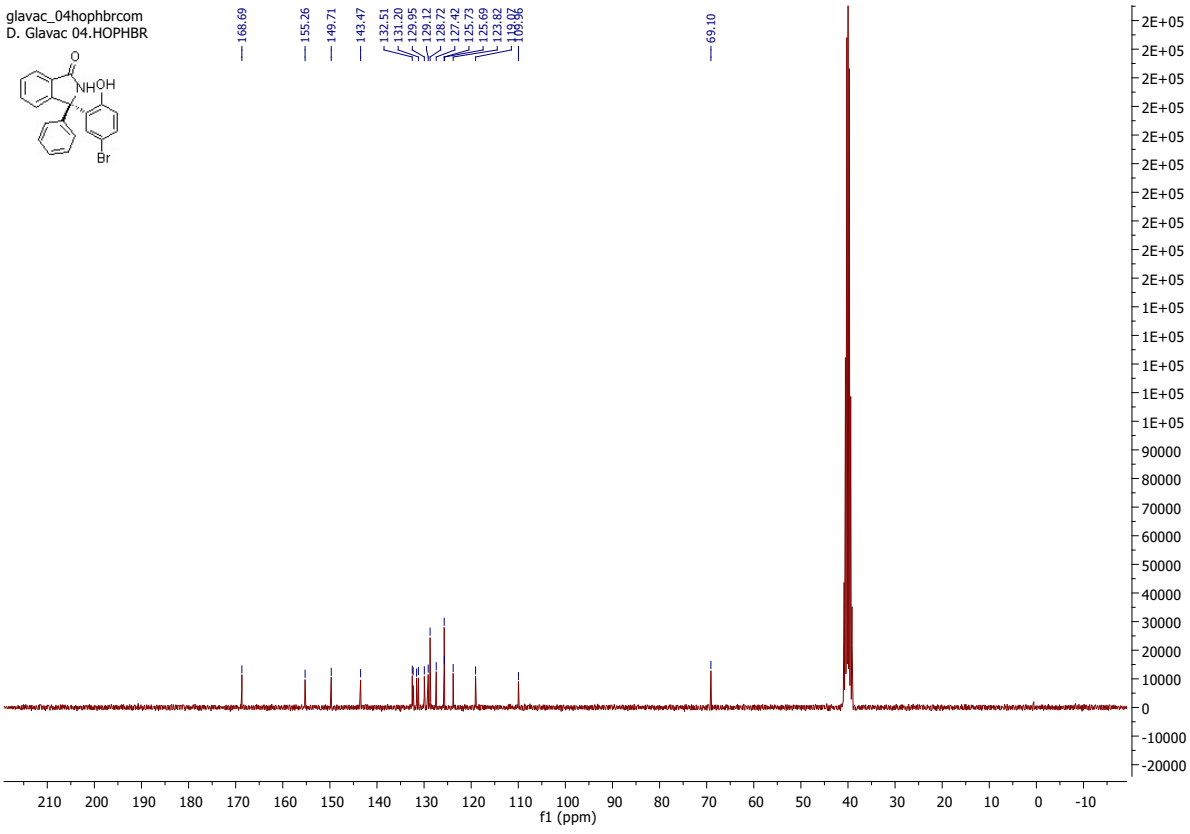
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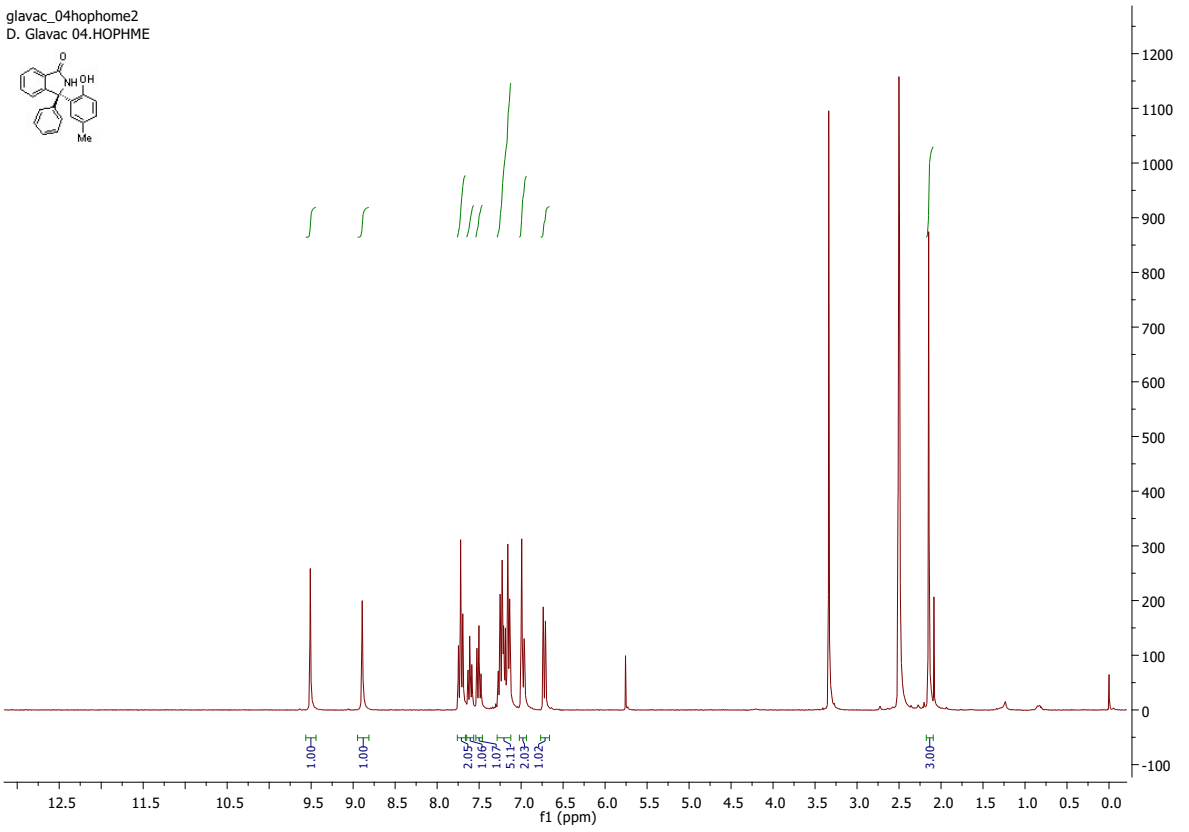
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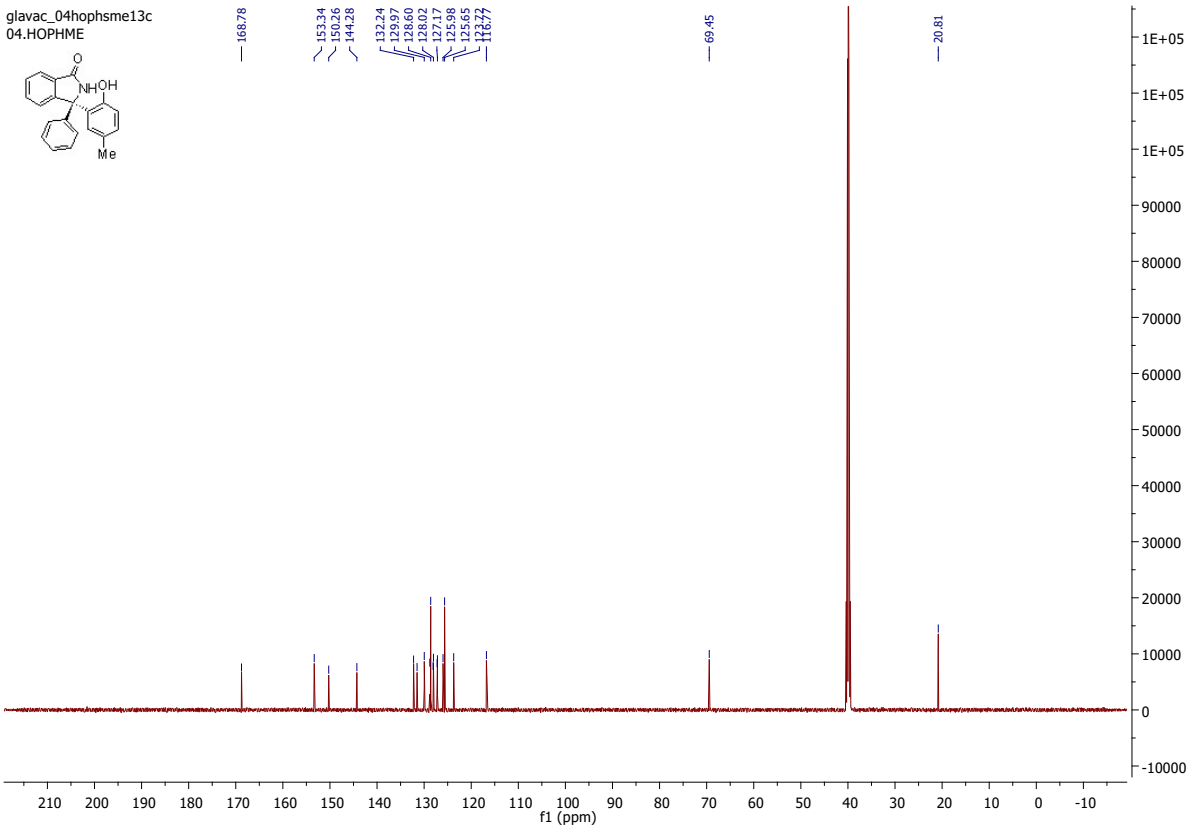
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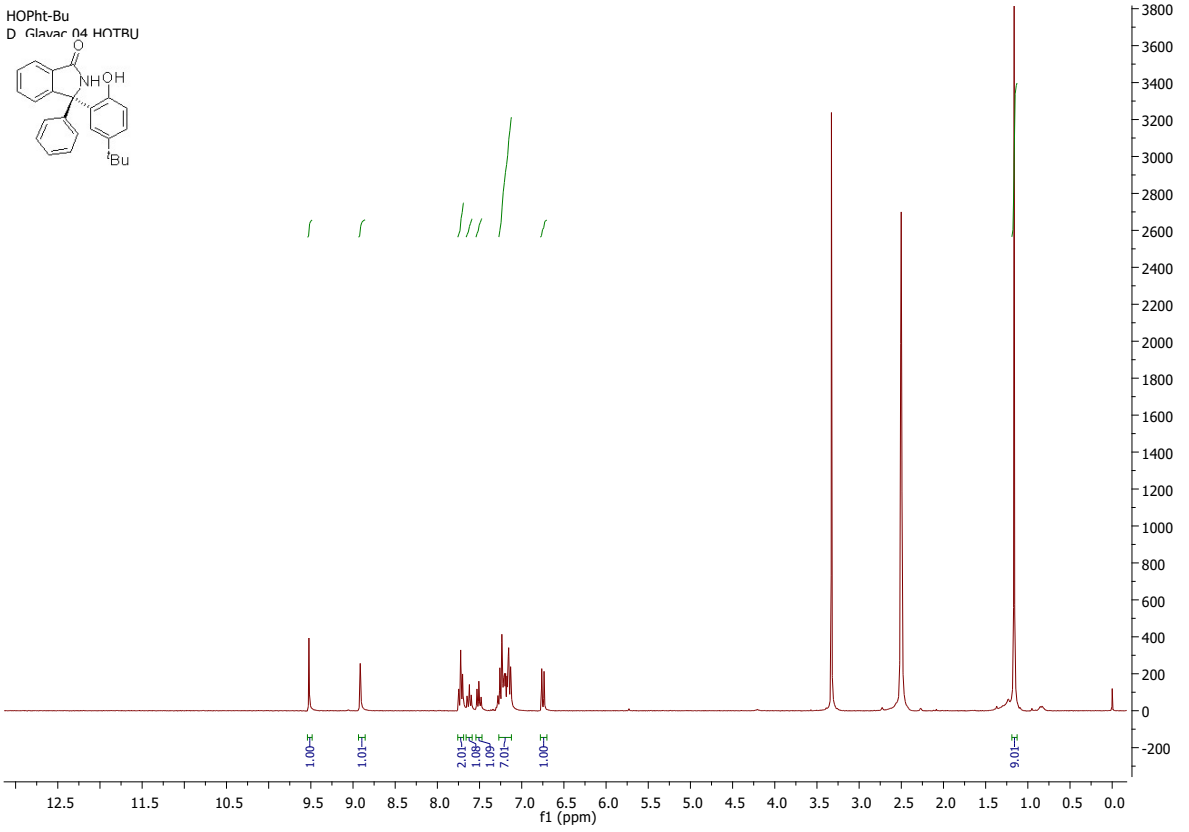
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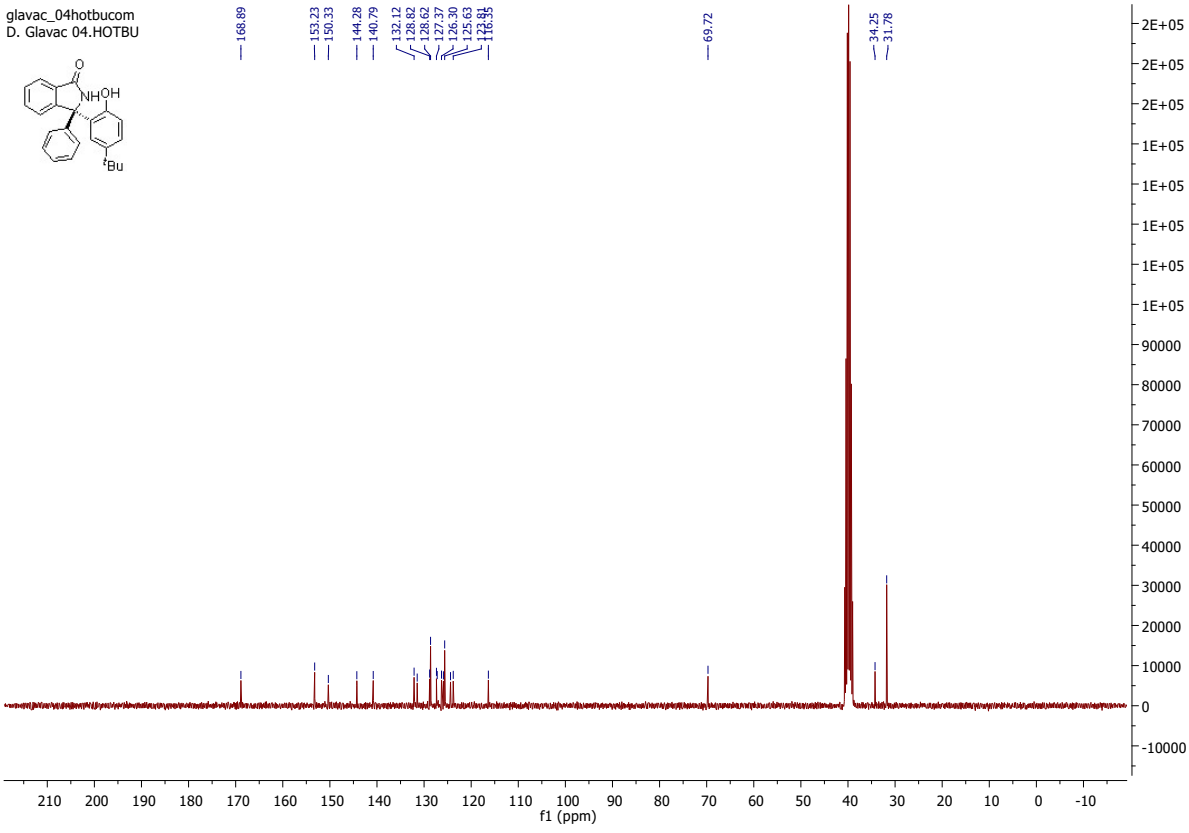
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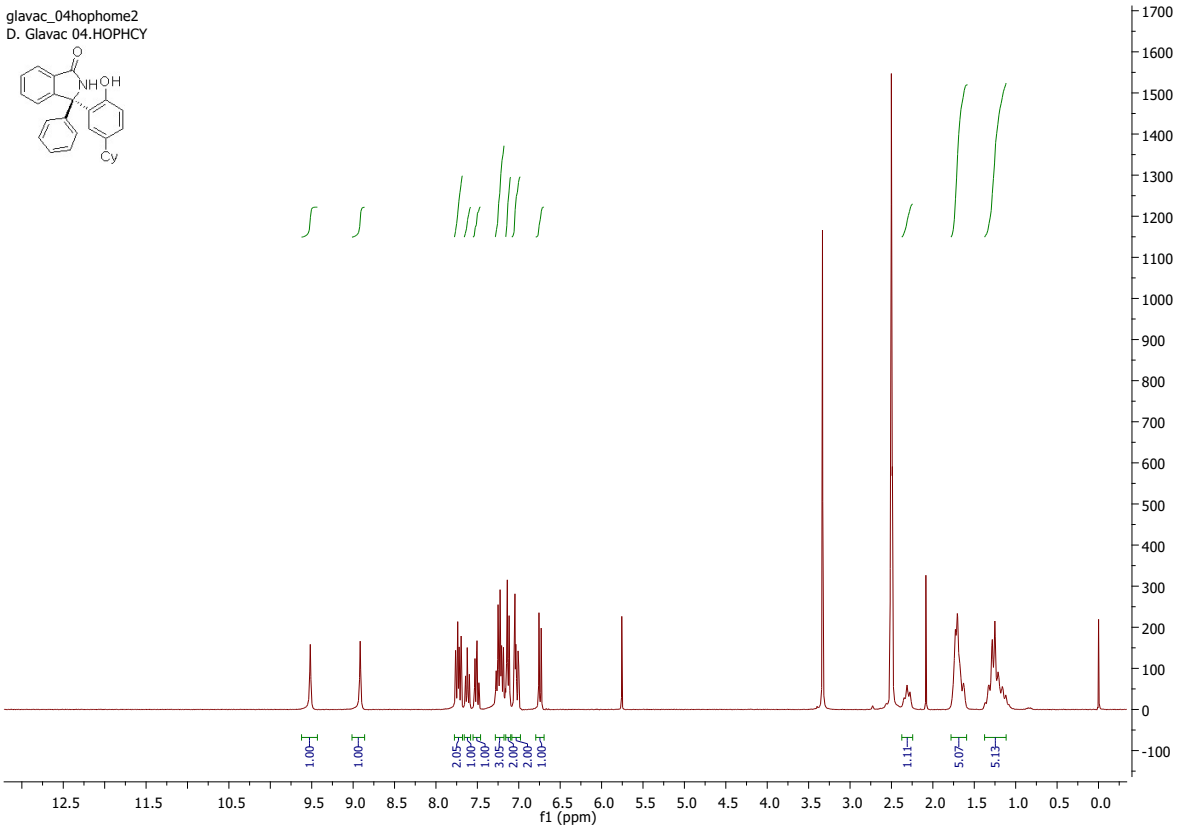
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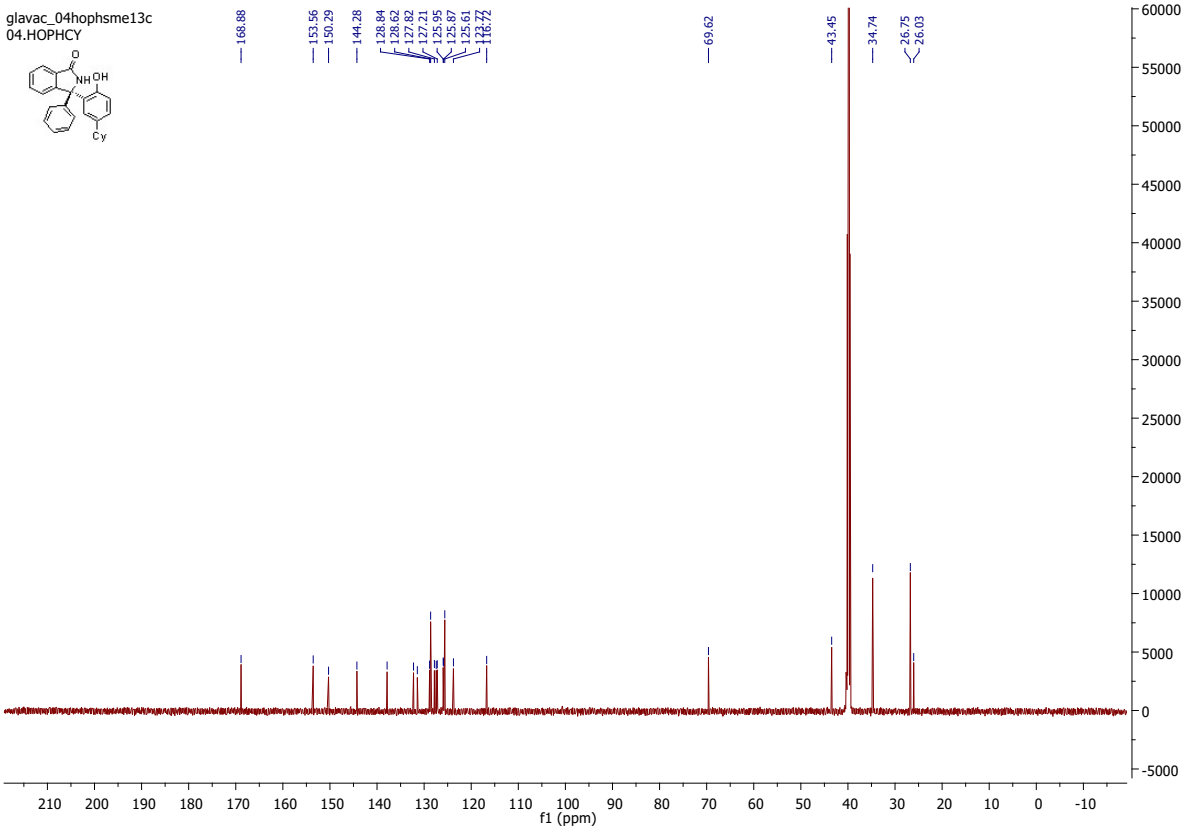
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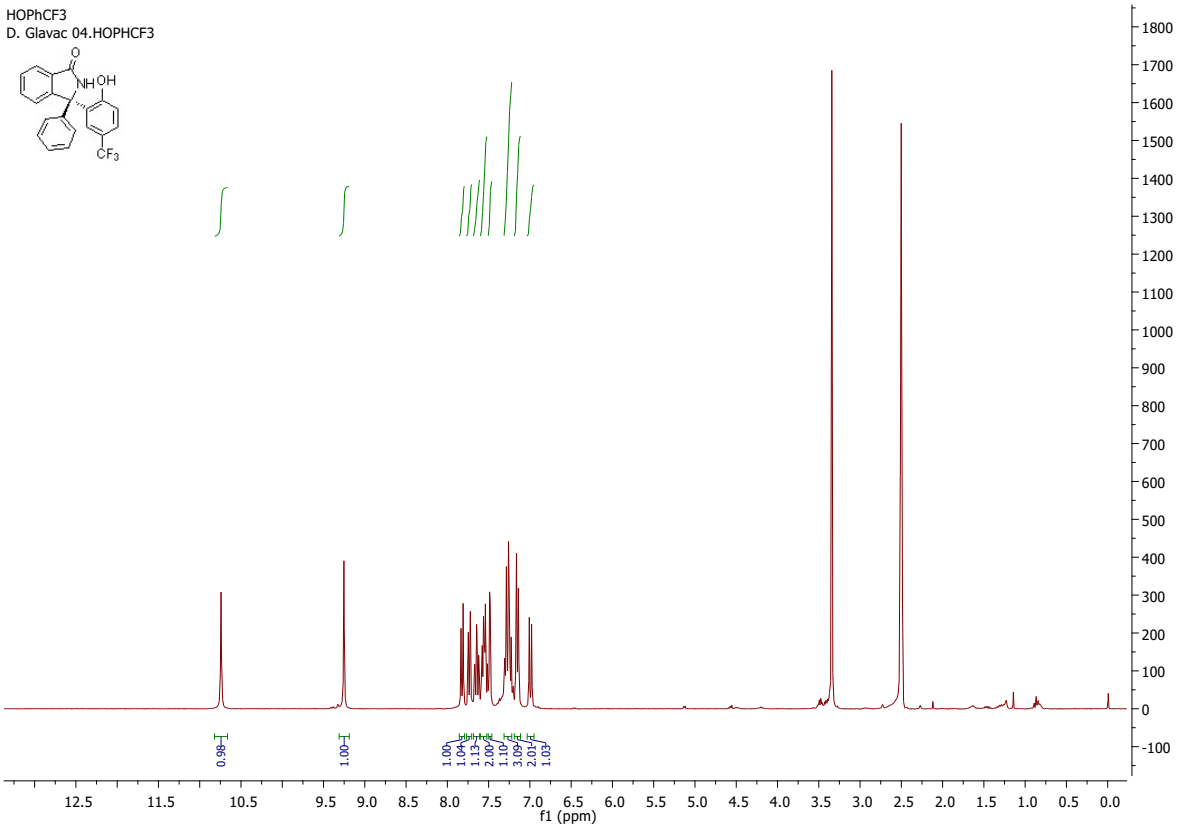
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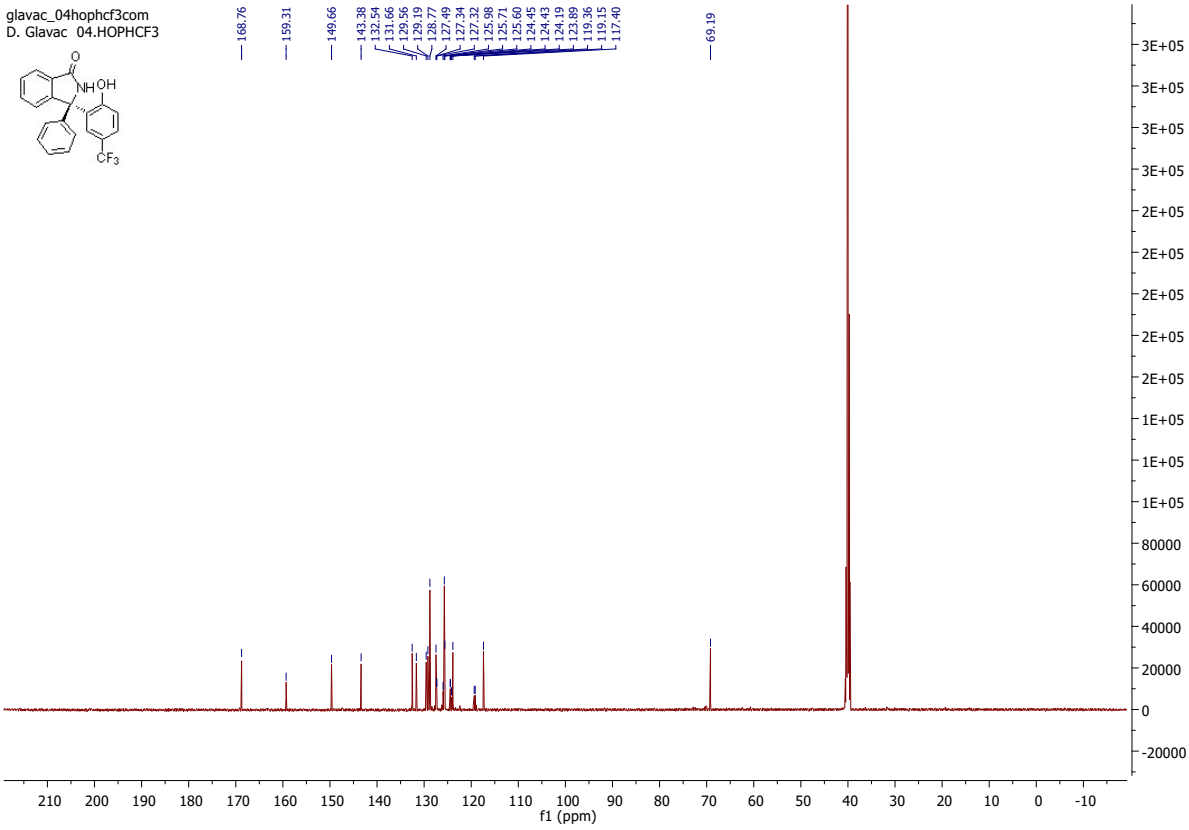
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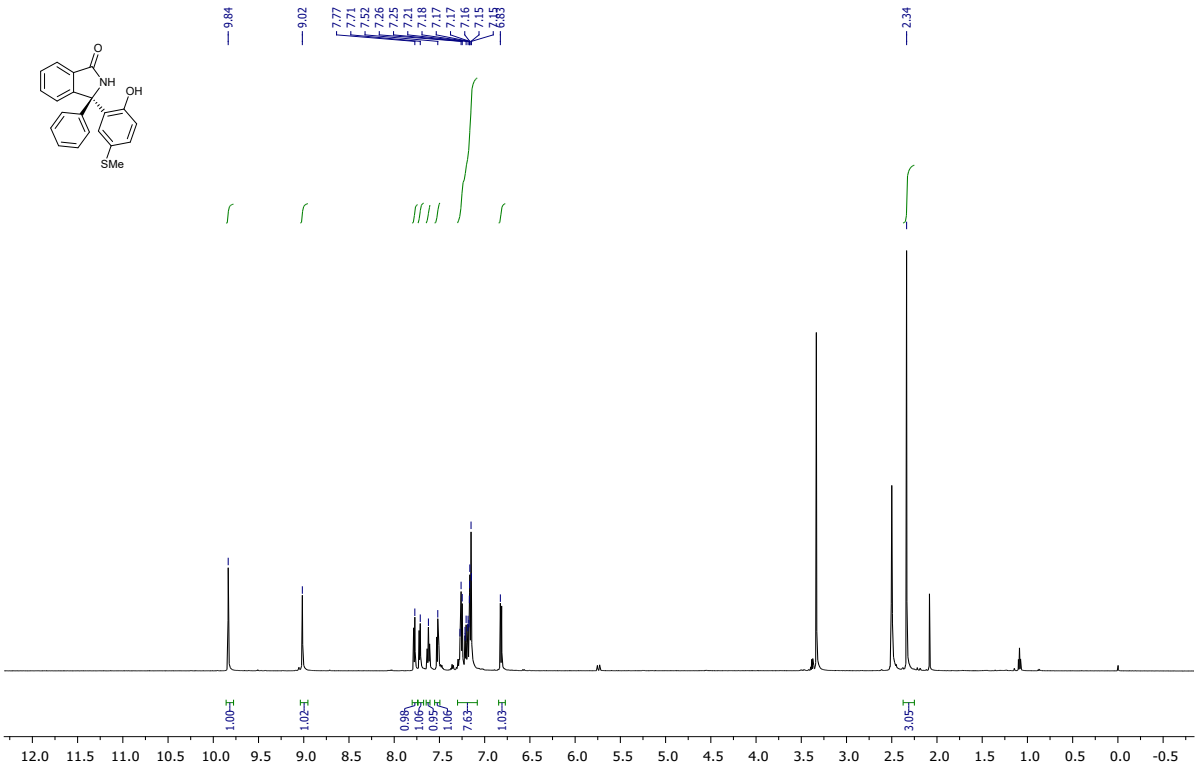
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0.95
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7.63
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3.05
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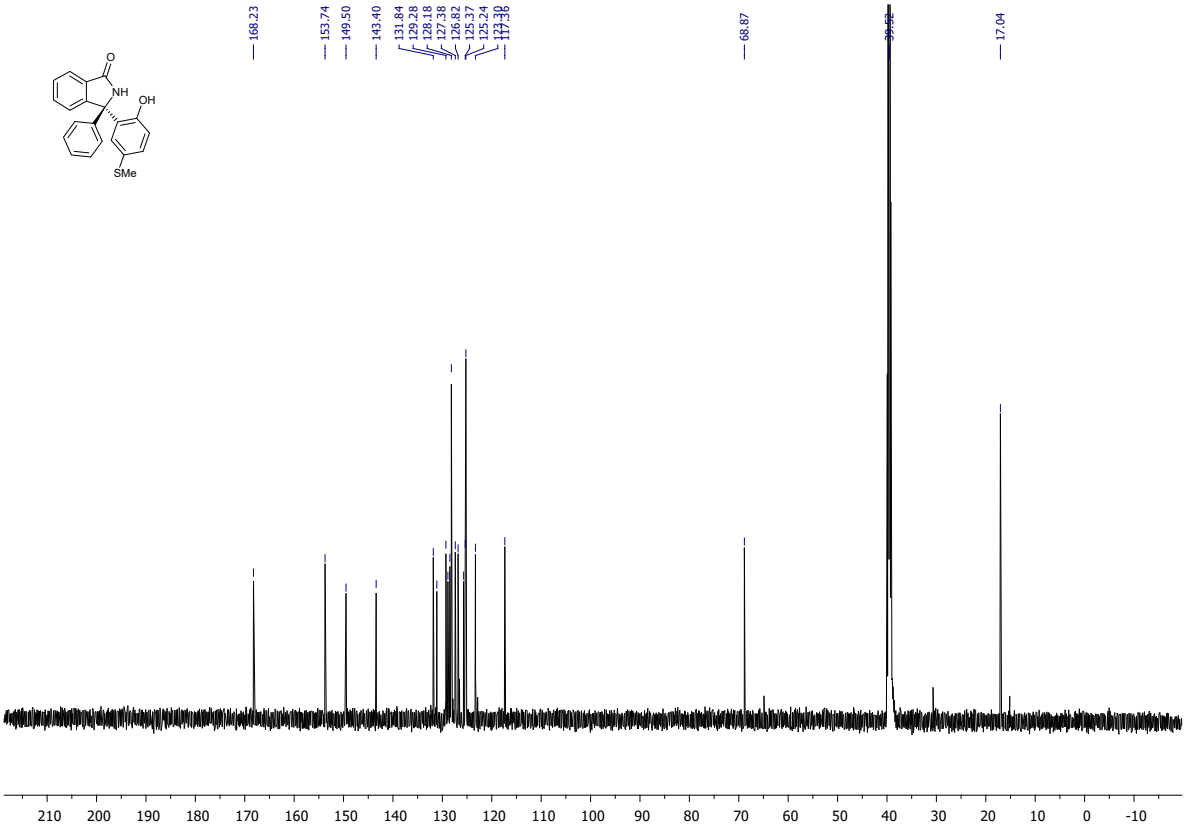
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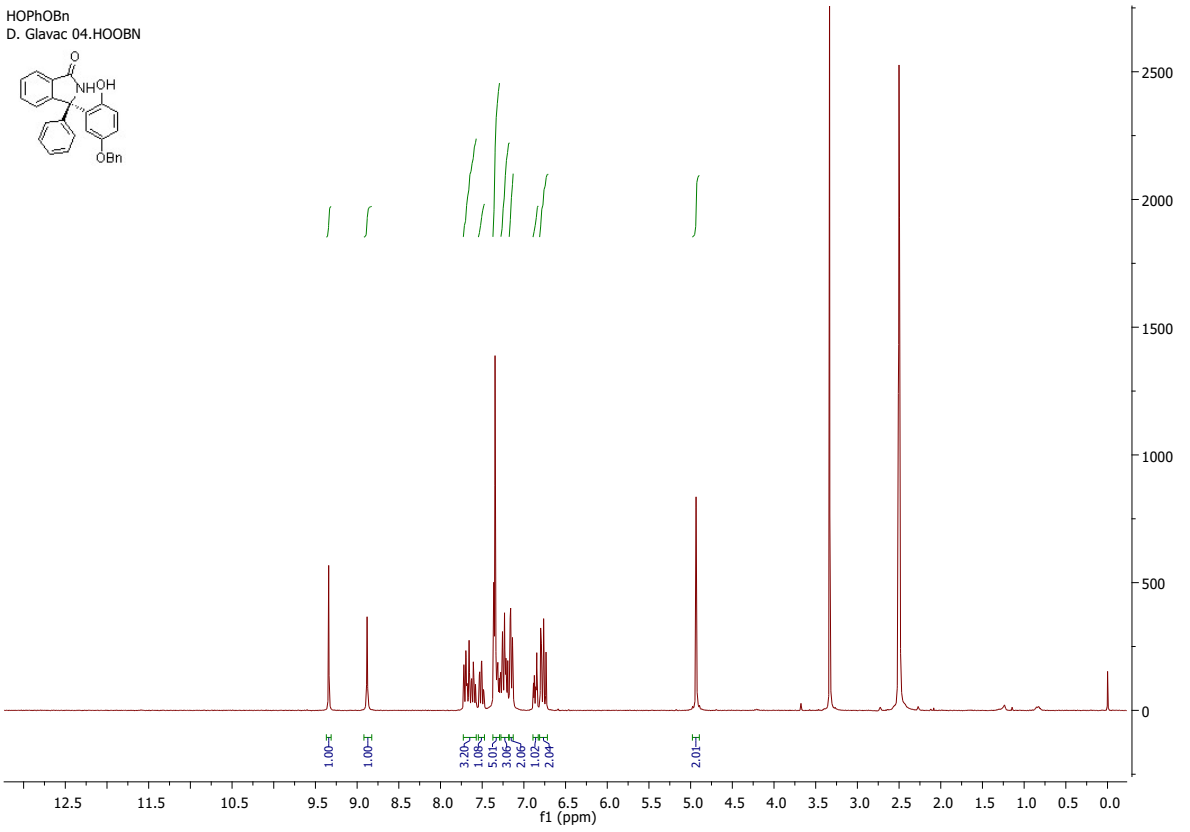
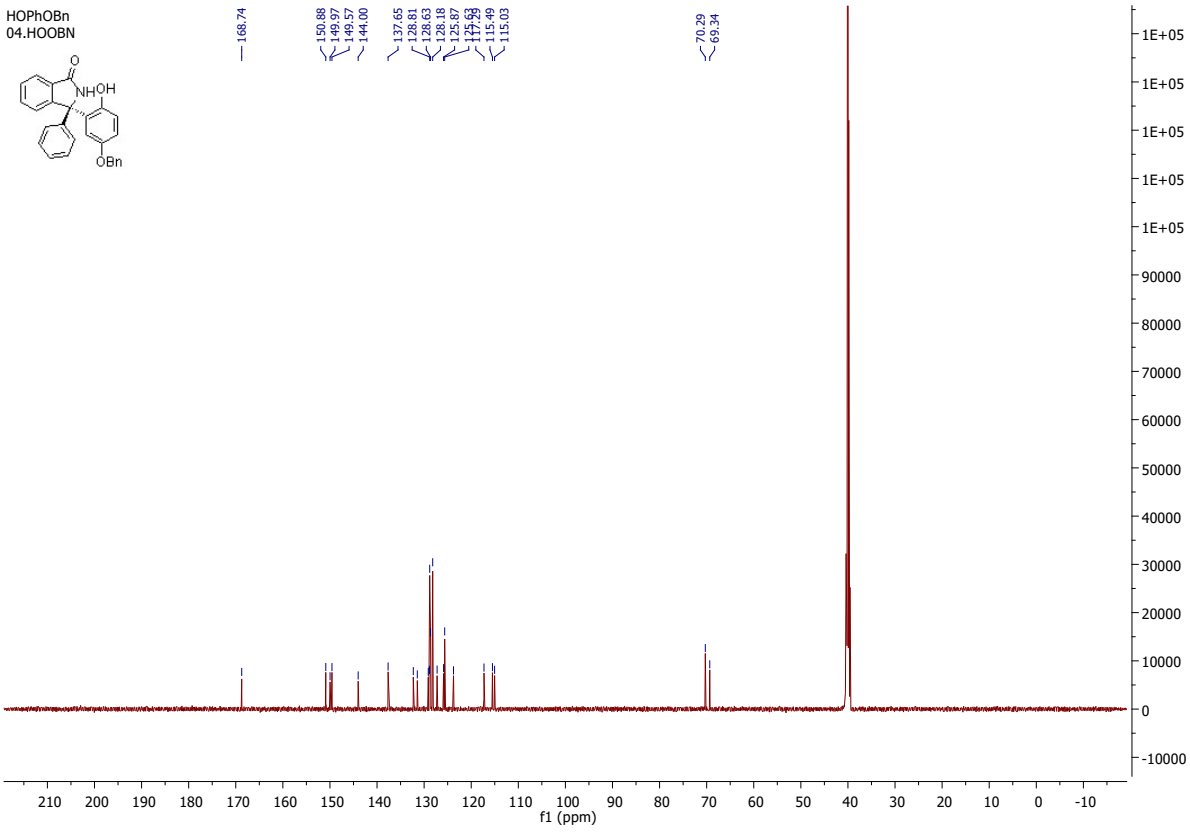
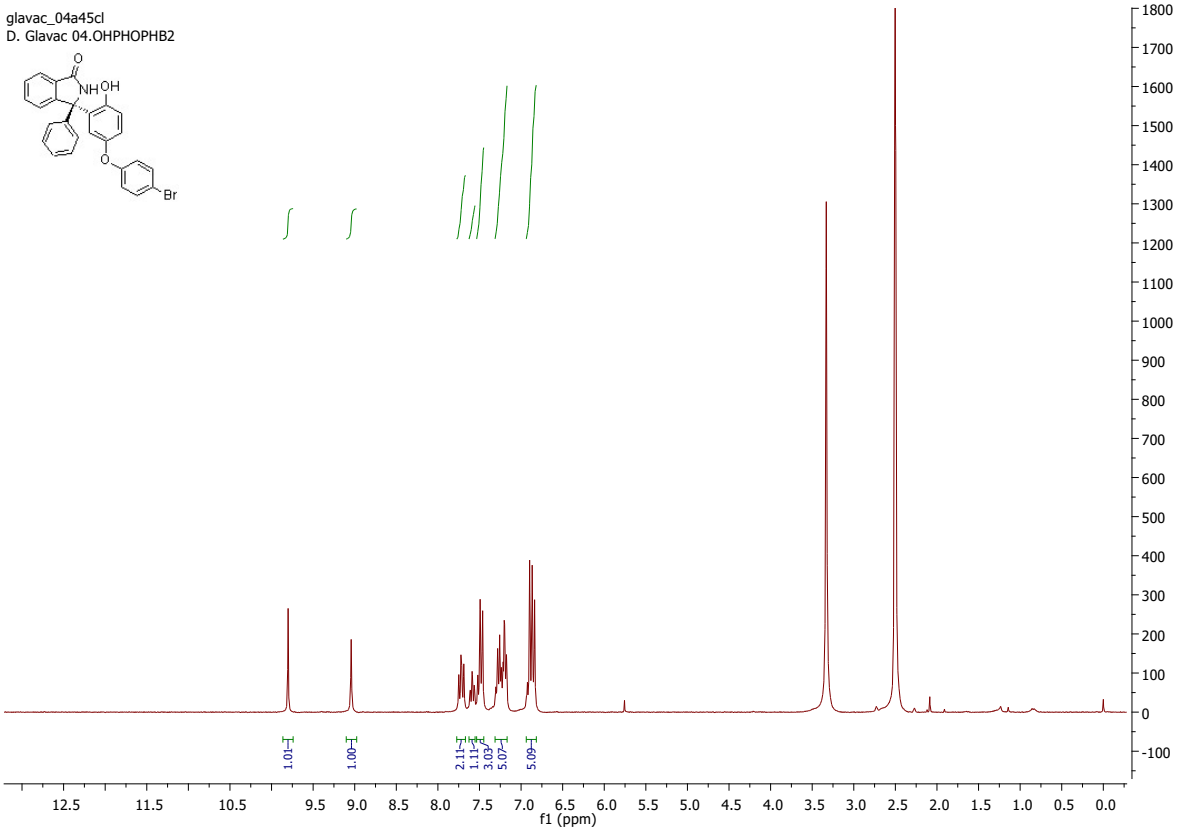
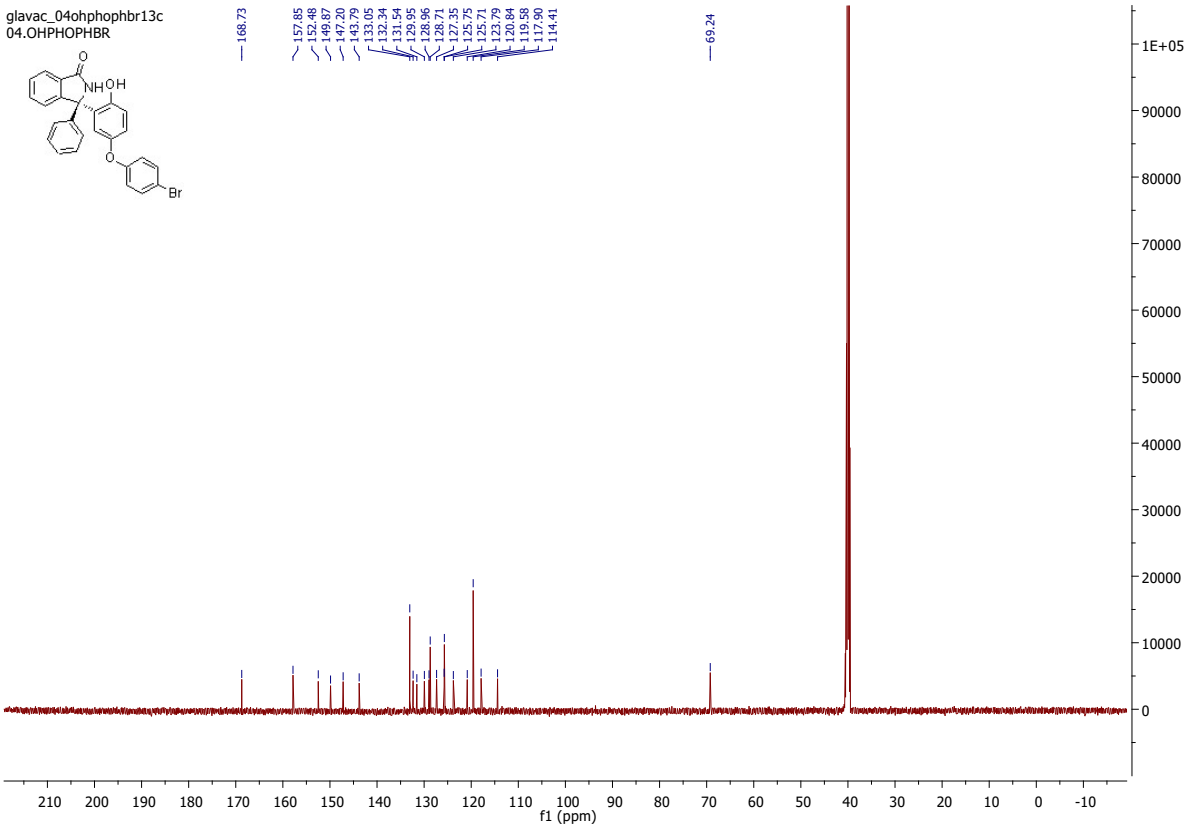
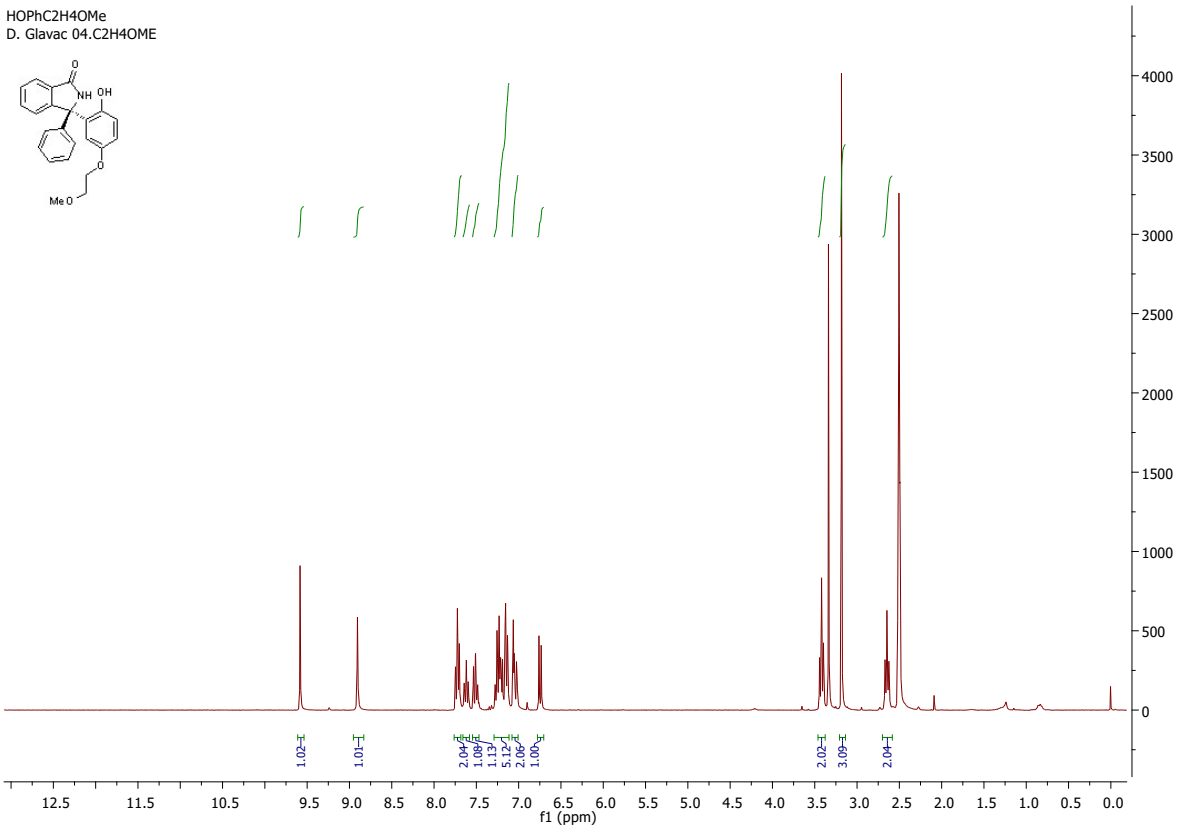
17.04
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10

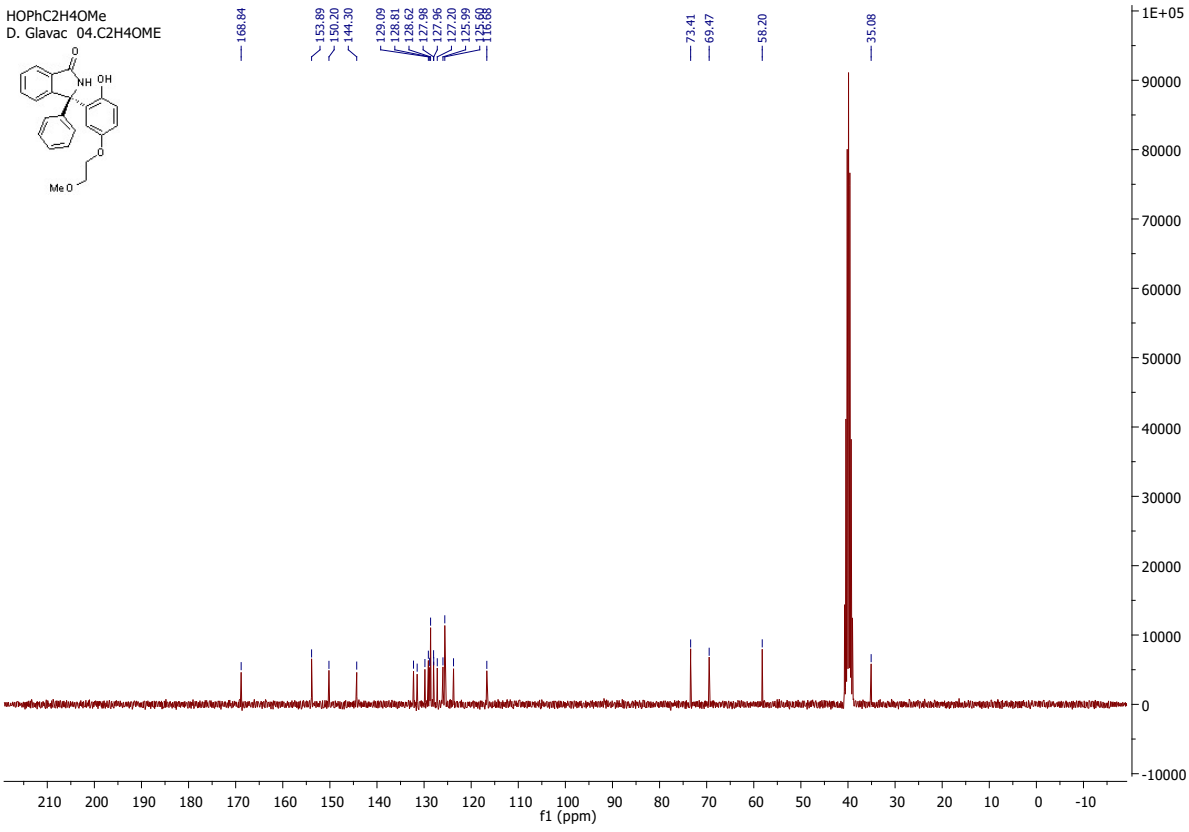

HOPhOBn
D. Glavac 04.HOOBN
1.00
1.00
3.20
1.08
5.01
3.06
2.06
1.02
2.04
2.01
f1 (ppm)

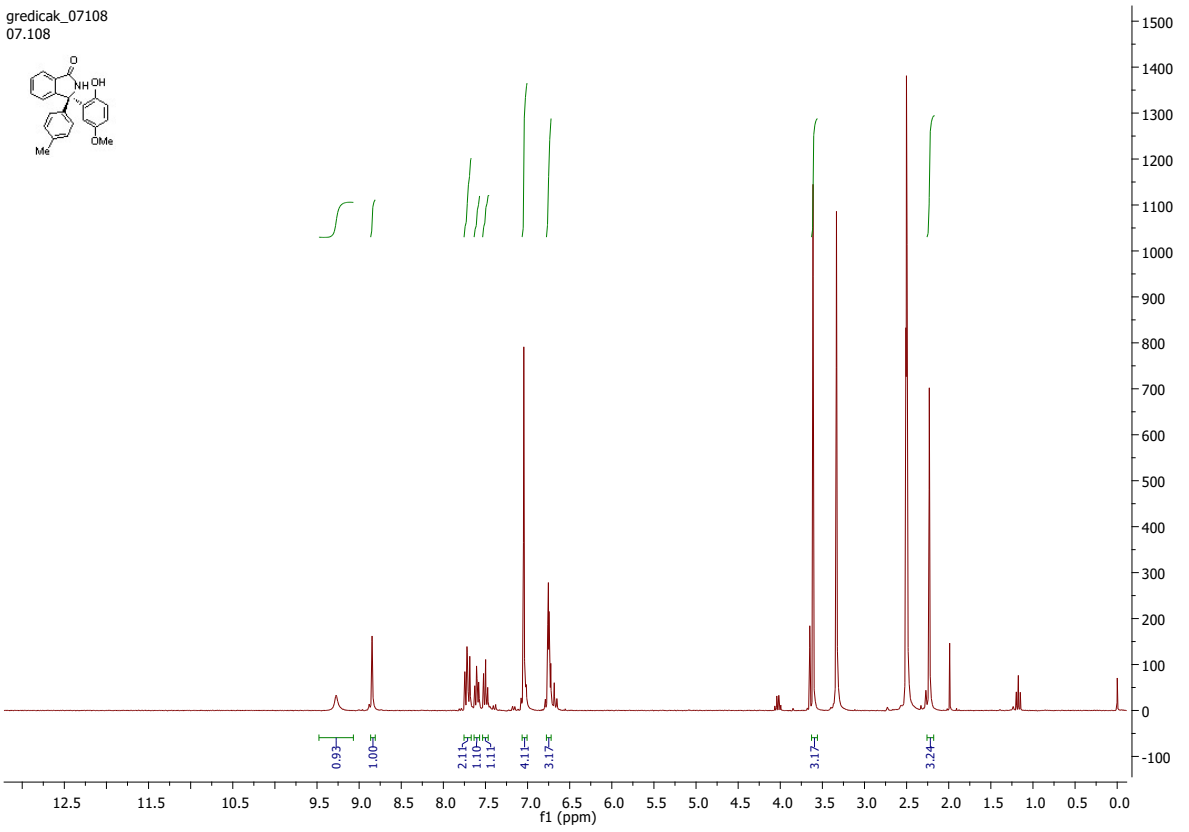

HOPhOBn
04.HOOBN
168.74
150.88
149.97
149.57
144.00
137.65
128.81
128.63
128.18
125.87
115.49
115.03
70.29
69.34
f1 (ppm)

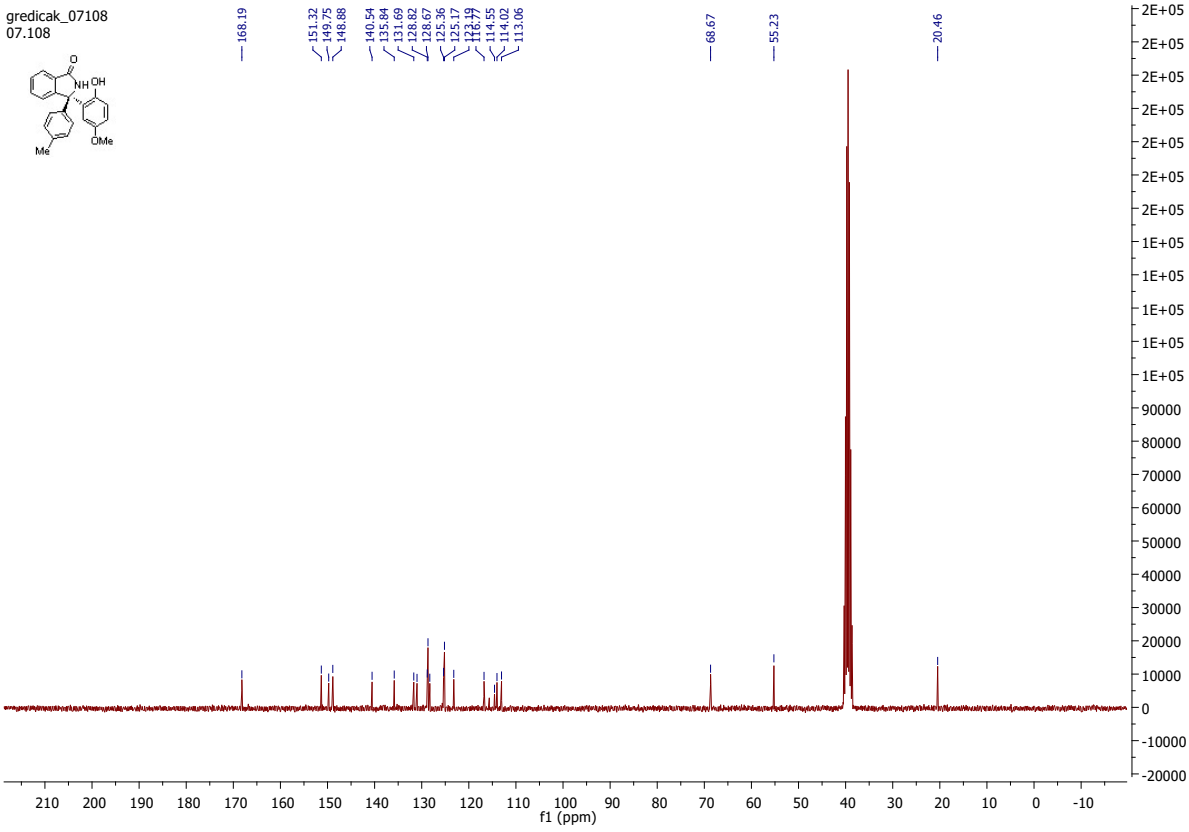

glavac_04a45cl
D. Glavac 04.OHPHOPHB2
1.01
1.00
2.11
1.11
3.03
5.07
5.09
f1 (ppm)

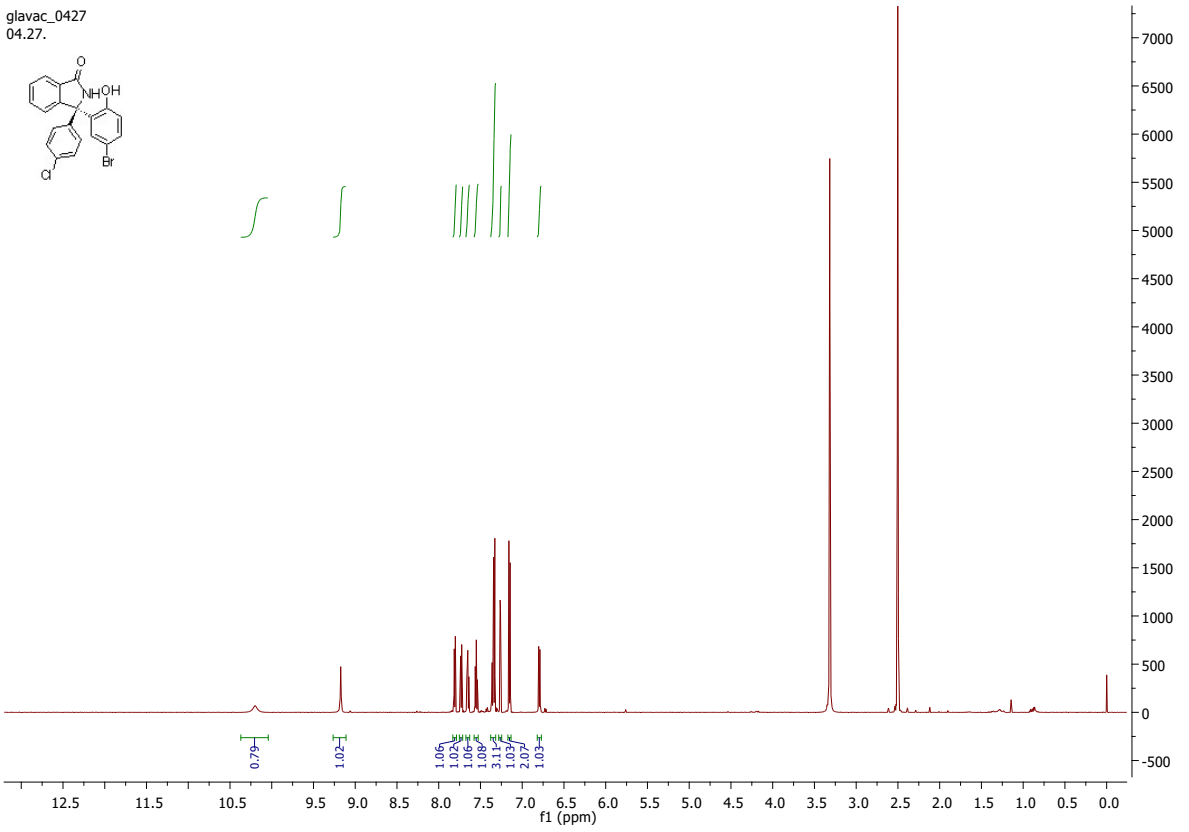

glavac_04ohphophbr13c
04.OHPHOPHBR
168.73
157.85
152.48
149.87
147.20
143.79
133.05
132.24
131.54
129.95
128.96
128.71
127.35
125.75
125.71
123.79
120.84
119.58
117.90
114.41
69.24
f1 (ppm)

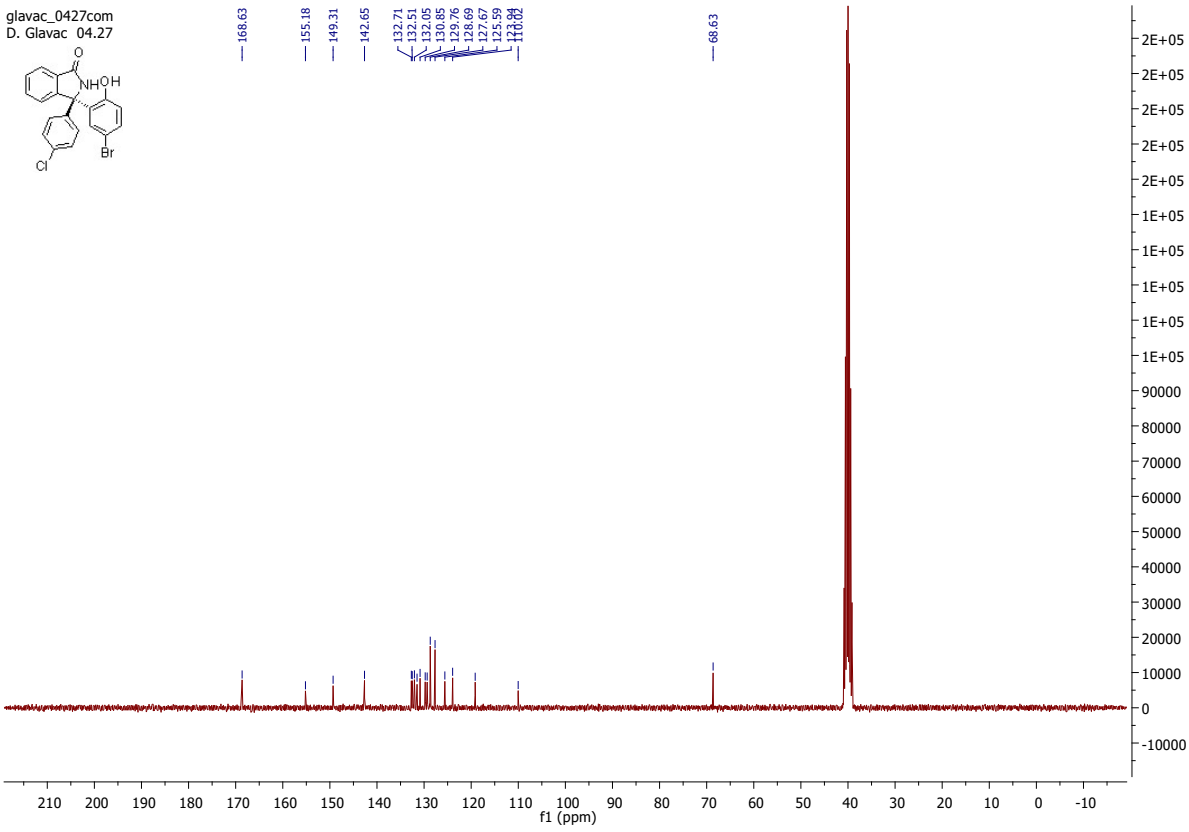

HOPhC2H4OMe
D. Glavac 04.C2H4OME
f1 (ppm)

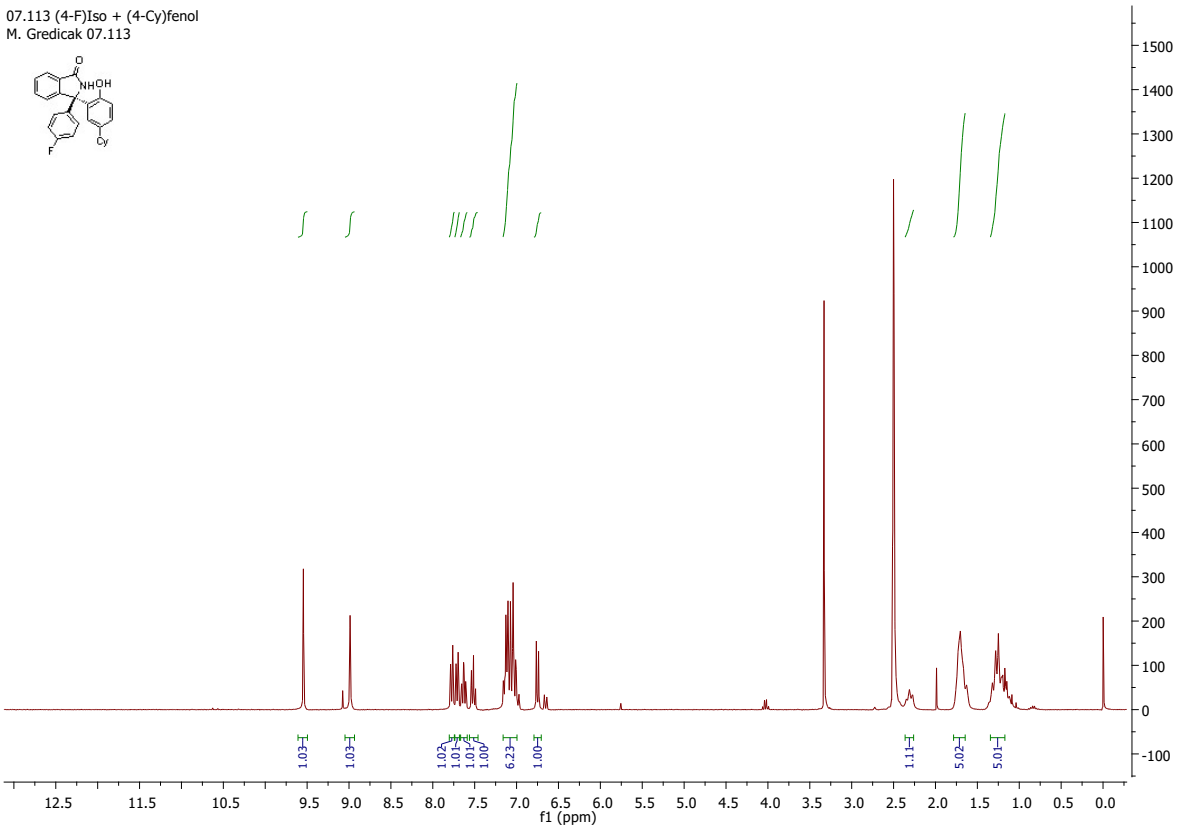

HOPhC2H4OMe
D. Glavac 04.C2H4OME
168.84
153.89
150.20
144.30
129.09
128.81
128.62
127.98
127.96
127.20
125.99
73.41
69.47
58.20
35.08
f1 (ppm)

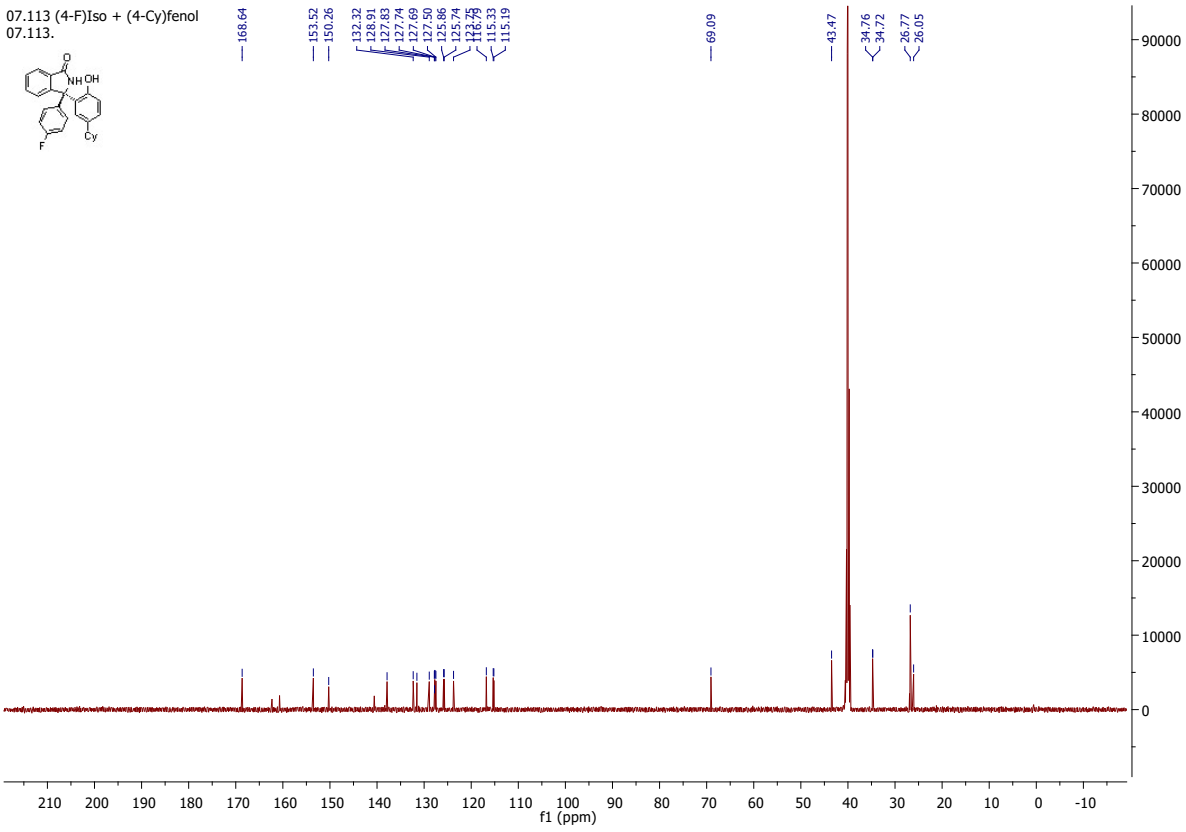

gredicak_07108
07.108
O
NH
OH
Me
OMe
0.93
1.00
2.11
1.10
1.11
4.11
3.17
3.17
3.24
f1 (ppm)

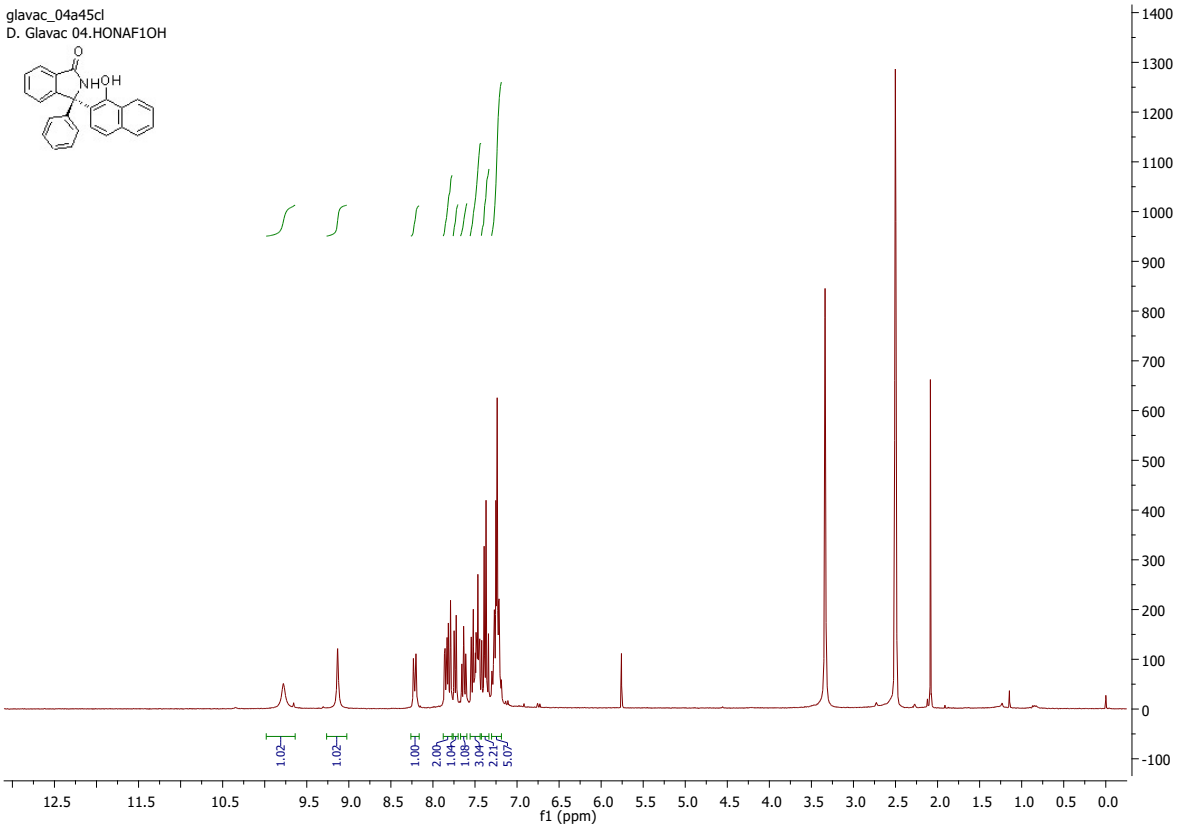

gredicak_07108
07.108
O
NH
OH
Me
OMe
168.19
151.32
149.75
148.88
140.54
135.84
131.69
128.82
128.67
125.36
125.17
114.55
114.02
113.06
68.67
55.23
20.46
f1 (ppm)

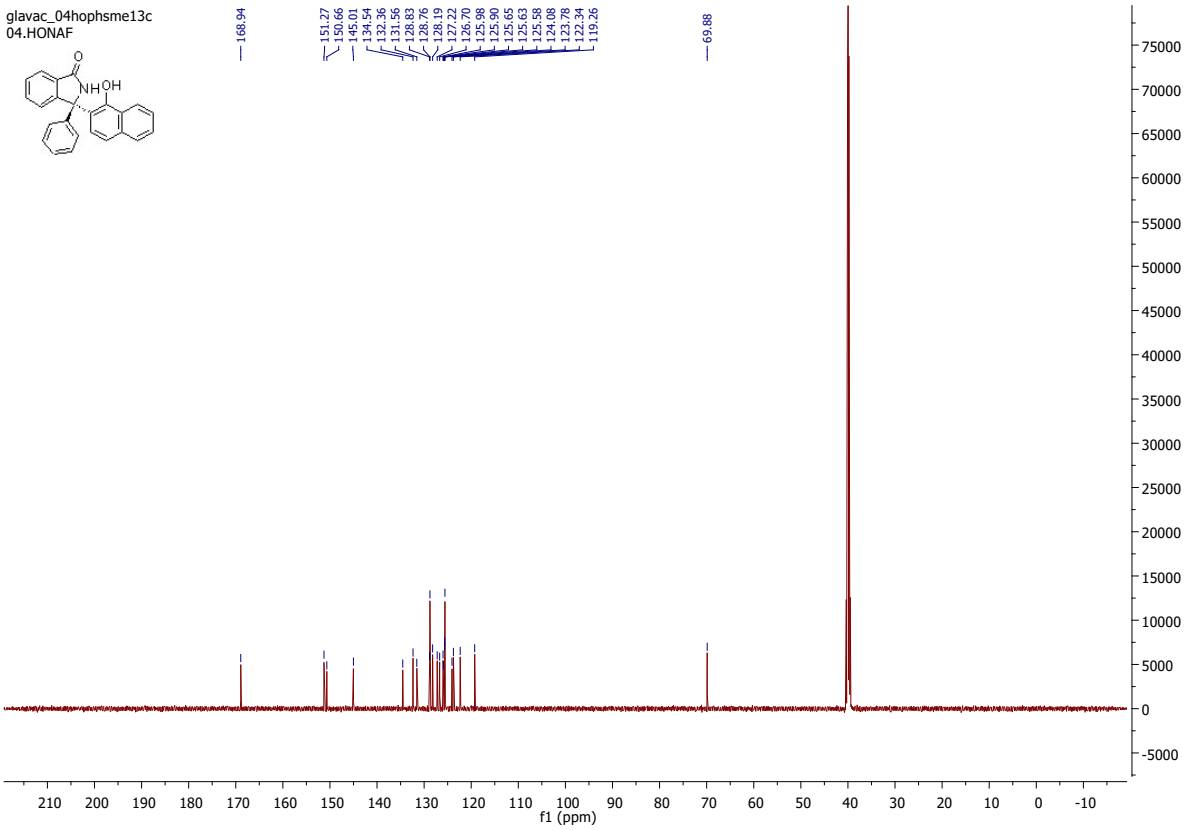

glavac_0427
04.27.
O
NH
OH
Cl
Br
0.79
1.02
1.06
1.02
1.06
1.08
3.11
1.03
2.07
1.03
f1 (ppm)

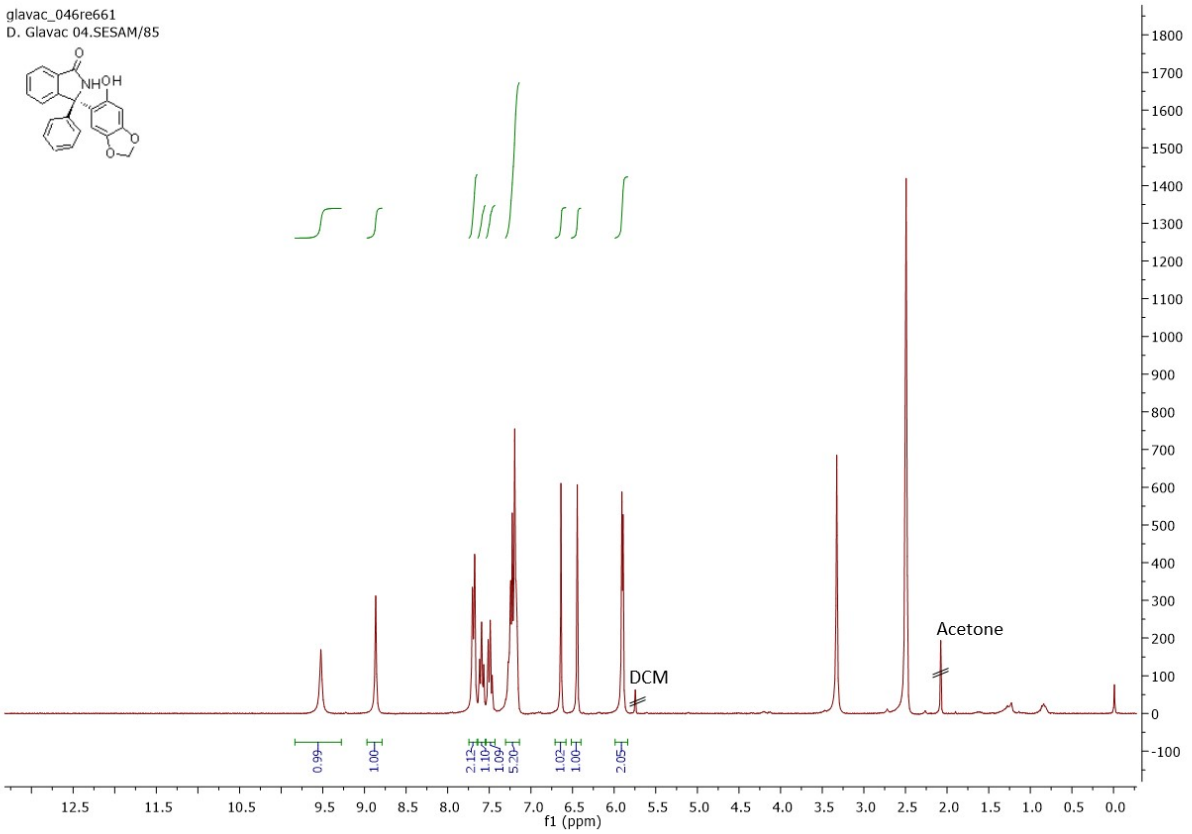

glavac_0427com
D. Glavac 04.27
O
NH
OH
Cl
Br
168.63
155.18
149.31
142.65
132.71
132.51
132.05
130.85
129.76
128.69
127.67
125.59
68.63
f1 (ppm)

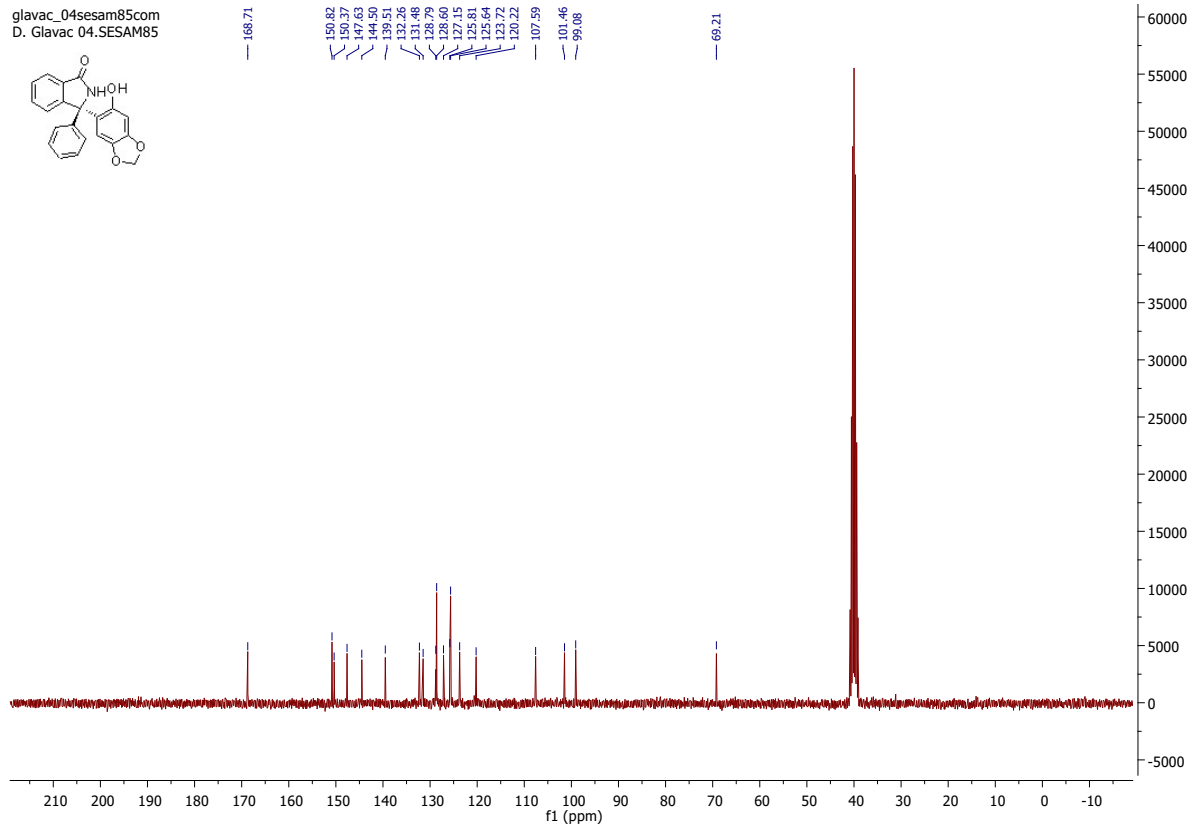

07.113 (4-F)Iso + (4-Cy)fenol
M. Gredicak 07.113
f1 (ppm)

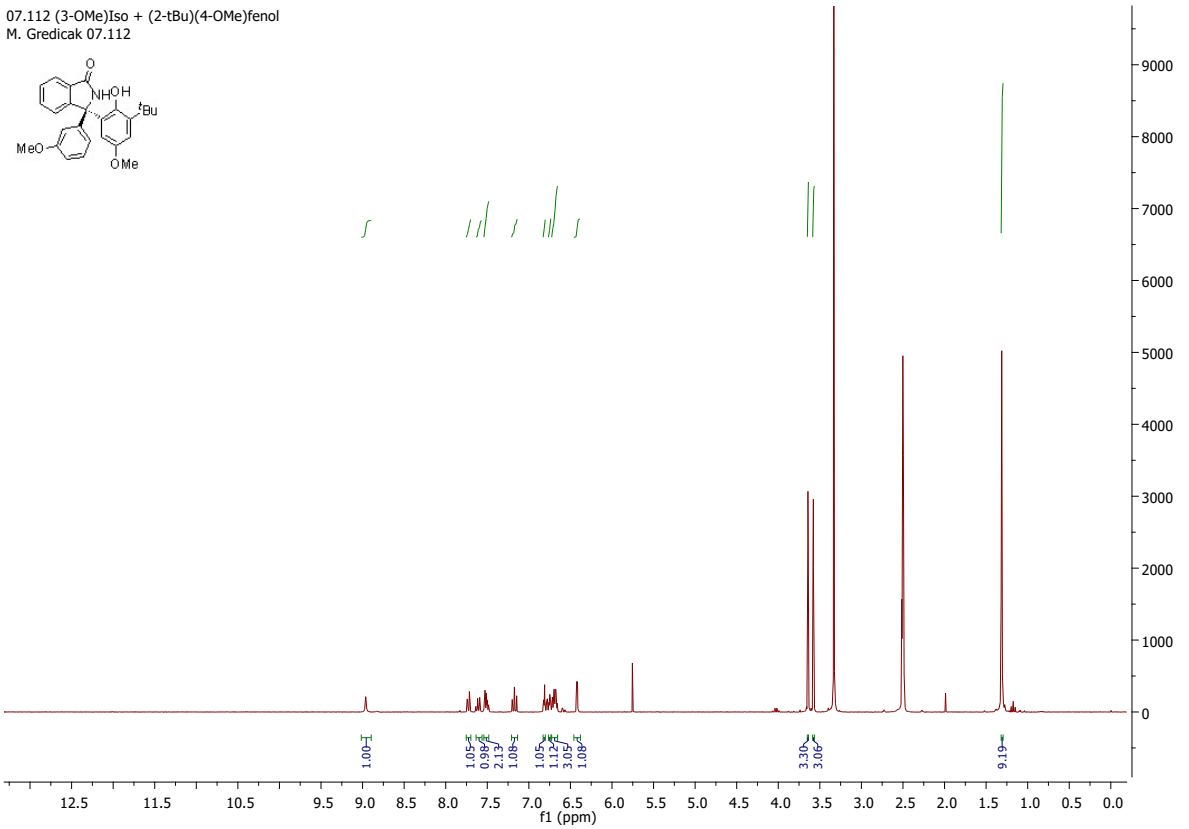

07.113 (4-F)Iso + (4-Cy)fenol
07.113.
168.64
153.52
150.26
132.32
128.91
127.83
127.74
127.69
127.50
125.86
125.74
115.33
115.19
69.09
43.47
34.76
34.72
26.77
26.05
f1 (ppm)

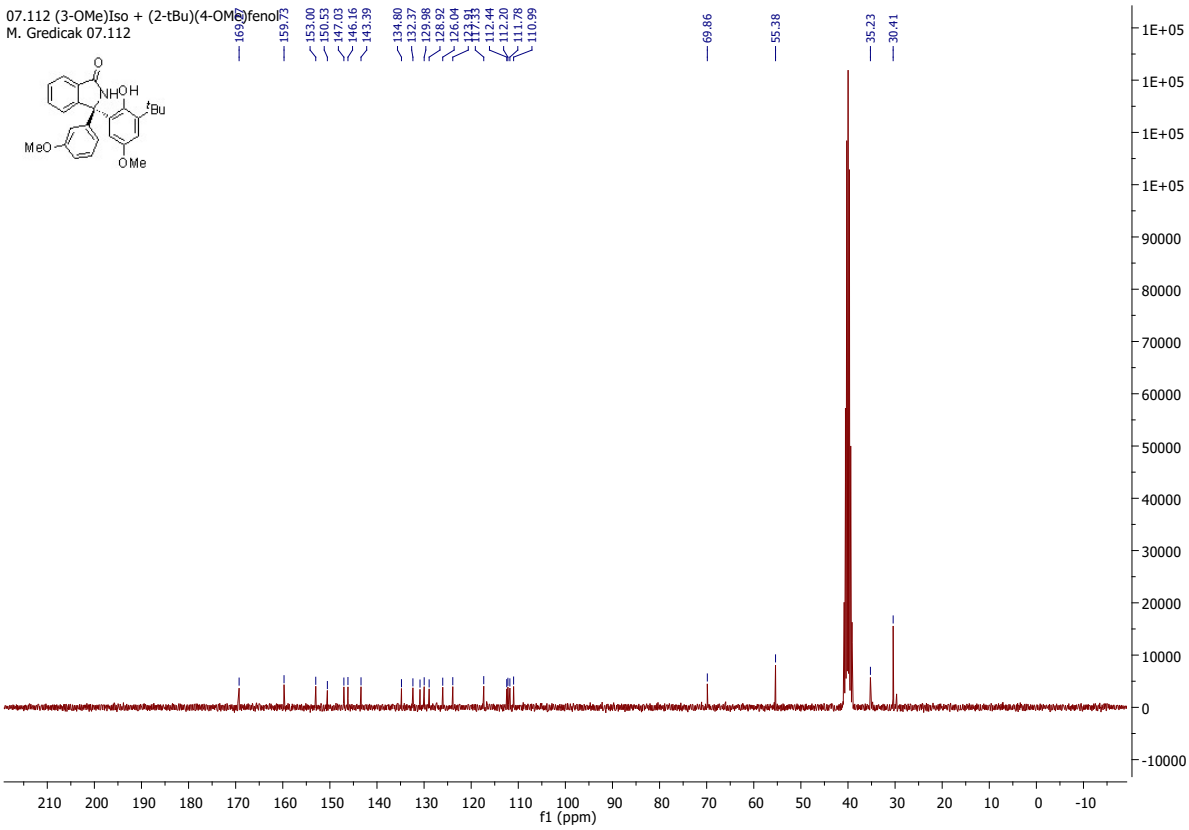

glavac_04a45cl
D. Glavac 04.HONAF1OH
1.02
1.02
1.00
2.00
1.04
1.08
3.04
2.21
5.07
f1 (ppm)

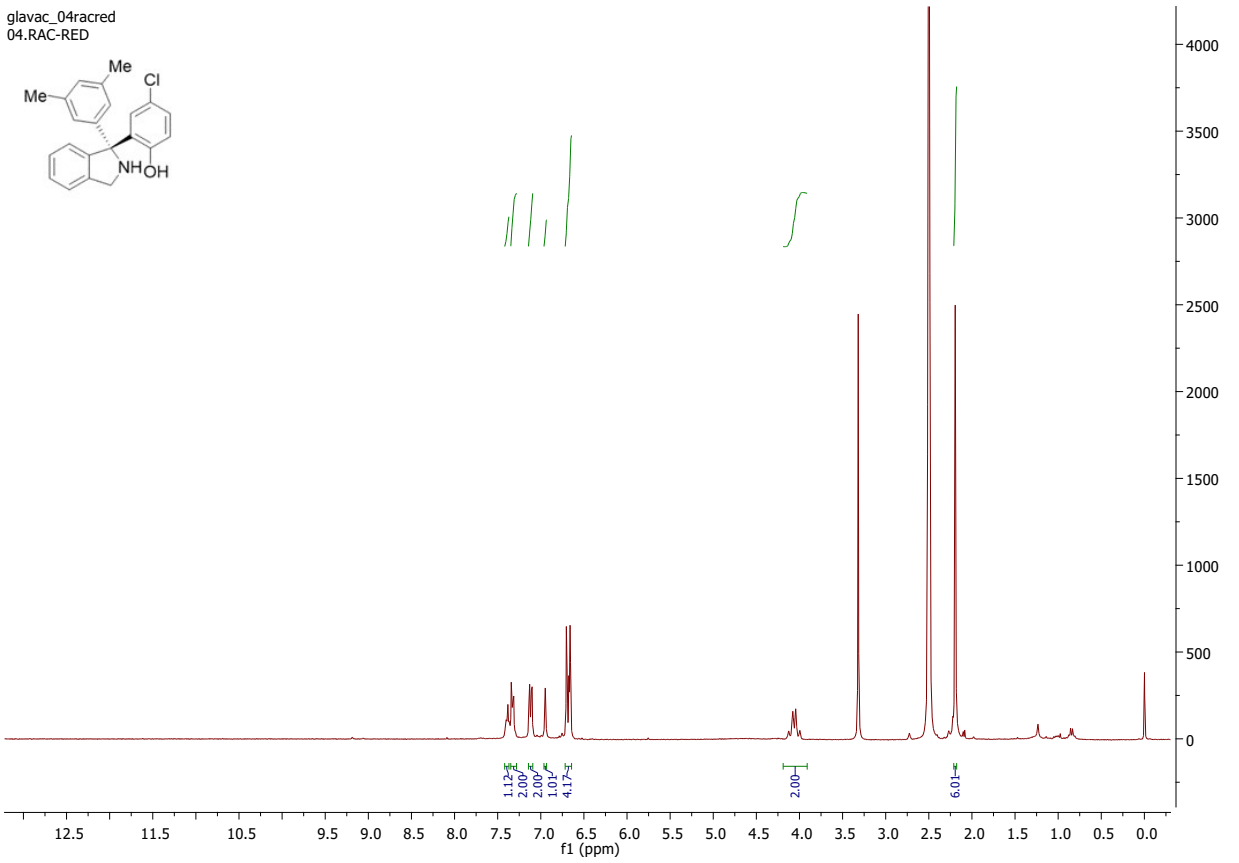

glavac_04hophsme13c
04.HONAF
168.94
151.27
150.66
145.01
134.54
132.36
131.56
128.83
128.76
128.19
127.22
126.70
125.98
125.90
125.65
125.63
125.58
124.08
123.78
122.34
119.26
69.88
f1 (ppm)

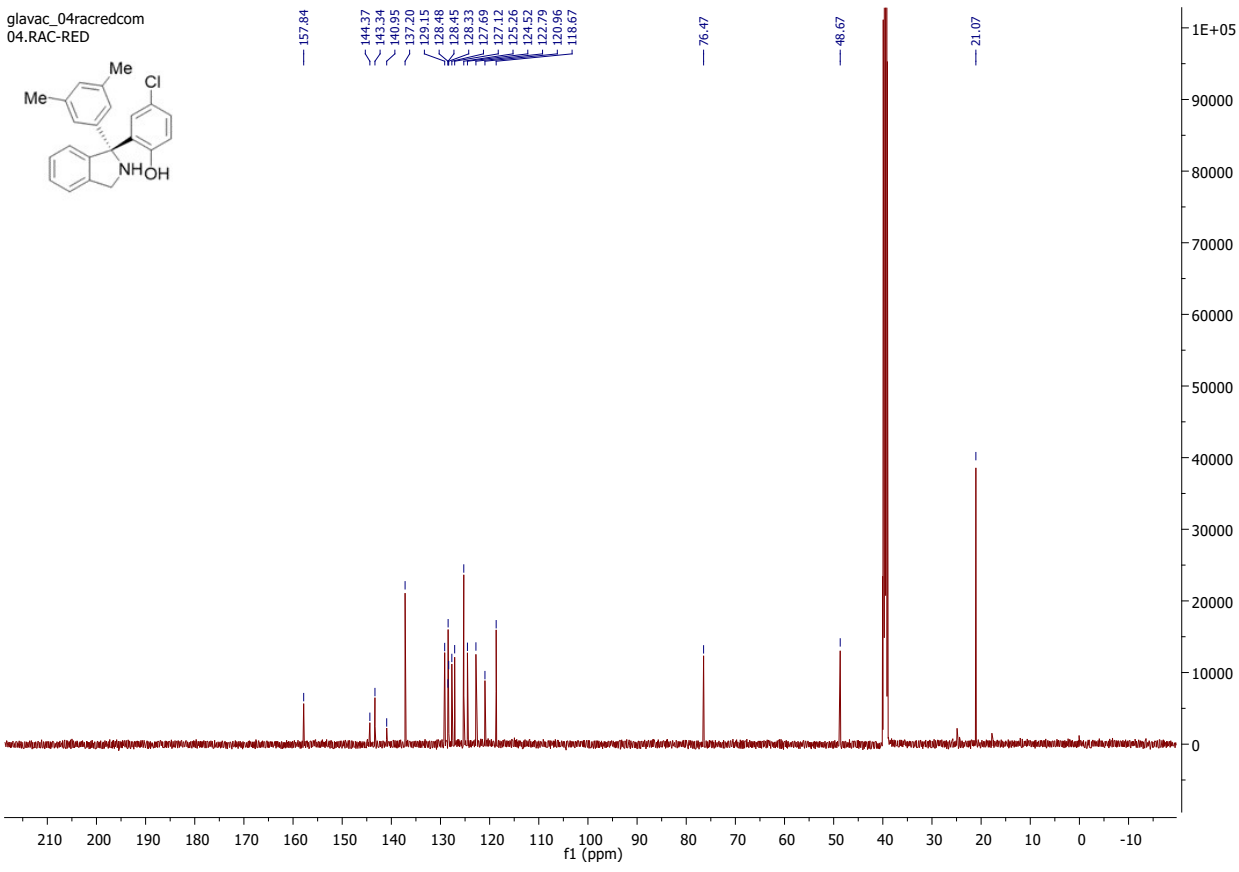

glavac_046re661
D. Glavac 04.SESAM/85
Acetone
DCM
f1 (ppm)


glavac_04sesam85com
D. Glavac 04.SESAM85
168.71
150.82
150.37
147.63
144.50
139.51
132.26
131.48
128.79
128.60
127.15
125.81
125.64
123.72
120.22
107.59
101.46
99.08
69.21
f1 (ppm)


07.112 (3-OMe)Iso + (2-tBu)(4-OMe)fenol
M. Gredicak 07.112
f1 (ppm)

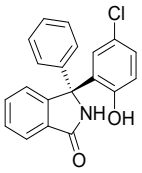

07.112 (3-OMe)Iso + (2-tBu)(4-OMe)fenol
M. Gredicak 07.112
169.87
159.73
153.00
150.53
147.03
146.16
143.39
134.80
132.37
129.98
128.92
126.04
112.44
112.20
111.78
110.99
69.86
55.38
35.23
30.41
f1 (ppm)


glavac_04racred
04.RAC-RED
Me
Me
Cl
NH
OH
4000
3500
3000
2500
2000
1500
1000
500
0
1.12
2.00
2.00
1.01
4.17
2.00
6.01
12.5
11.5
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


glavac_04racredcom
04.RAC-RED
Me
Me
Cl
NH
OH
157.84
144.37
143.34
140.95
137.20
129.15
128.48
128.45
128.33
127.69
127.12
125.26
124.52
122.79
120.96
118.67
76.47
48.67
21.07
1E+05
90000
80000
70000
60000
50000
40000
30000
20000
10000
0
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

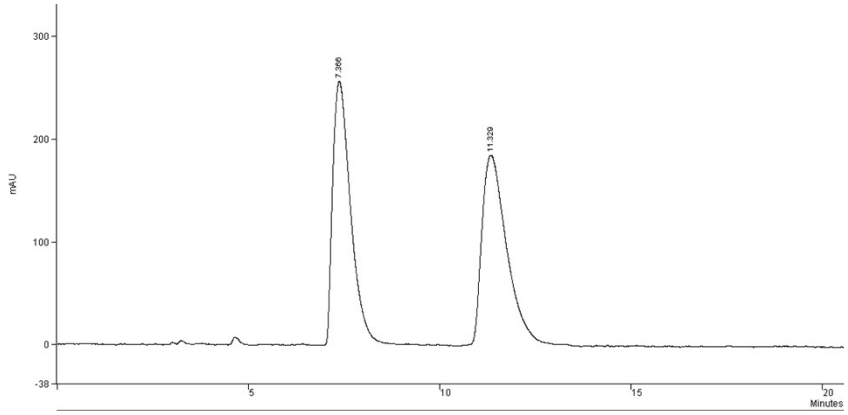
# 7. HPLC Traces

### (S)-3-(5-chloro-2-hydroxyphenyl)-3-phenylisoindolin-1-one (1)

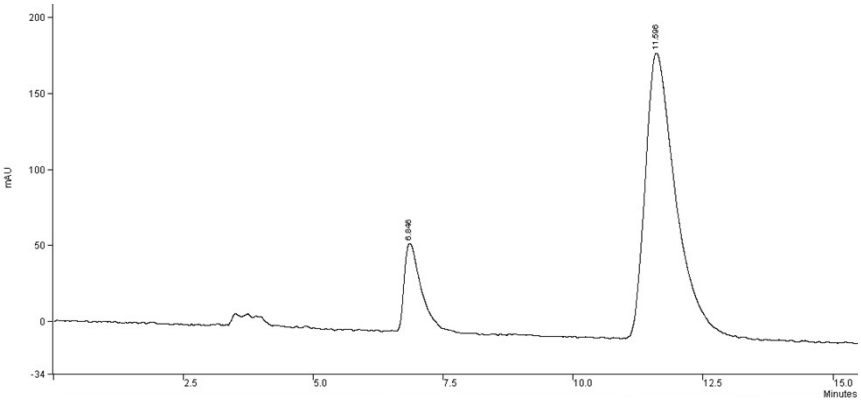



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,8 min; $t_2$ = 11,6 min.

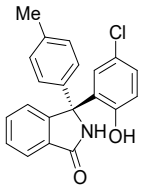



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.0501 | 7.366 | 0.000 | 82924472 | BB | 30.2 | |
| 2 | | 49.9499 | 11.329 | 0.000 | 82758424 | BB | 41.2 | |
| Totals: | | 100.0000 | | 0.000 | 165682896 | | | |



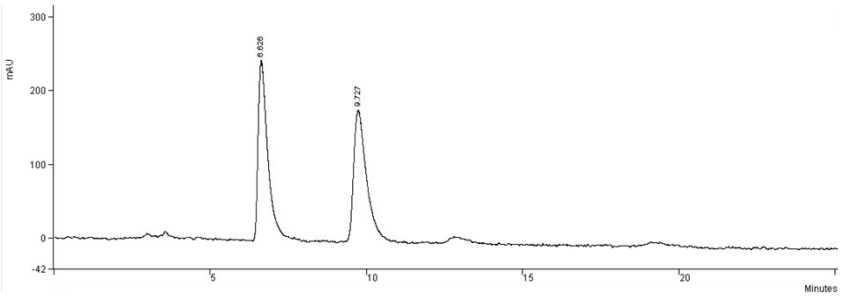

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 13.8976 | 6.846 | 0.000 | 12427052 | BB | 19.9 | |
| 2 | | 86.1024 | 11.596 | 0.000 | 76991760 | BB | 36.0 | |
| Totals: | | 100.0000 | | 0.000 | 89418812 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(p-tolyl)isoindolin-1-one (2)

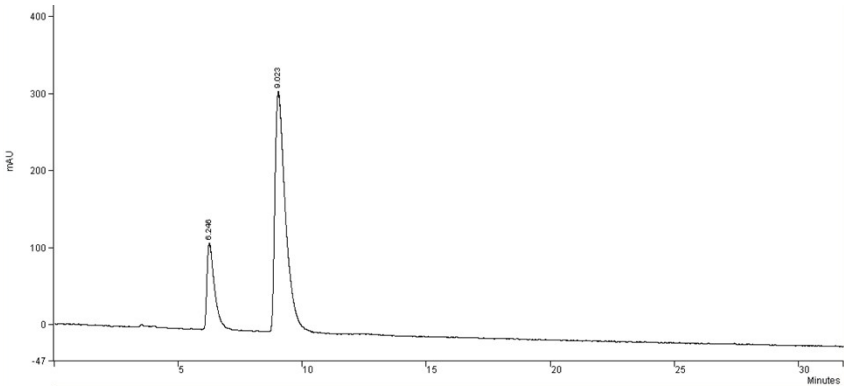



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,2 min; $t_2$ = 9,0 min.

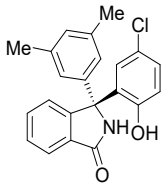



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.1309 | 6.626 | 0.000 | 50405944 | BB | 18.5 | |
| 2 | | 49.8691 | 9.727 | 0.000 | 50142688 | BB | 25.1 | |
| Totals: | | 100.0000 | | 0.000 | 100548632 | | | |



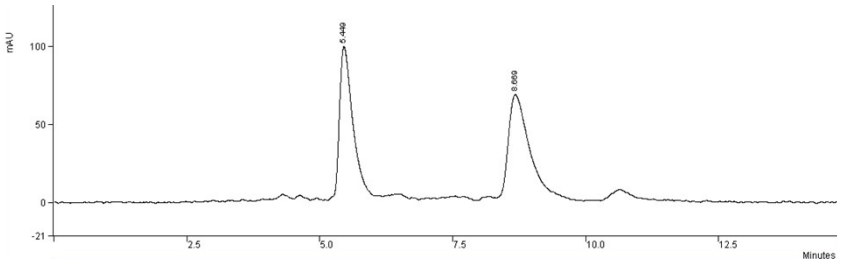

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 19.6016 | 6.246 | 0.000 | 22318388 | BB | 18.3 | |
| 2 | | 80.3984 | 9.023 | 0.000 | 91541664 | BB | 25.9 | |
| Totals: | | 100.0000 | | 0.000 | 113860052 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(3,5-dimethylphenyl)isoindolin-1-one (3)

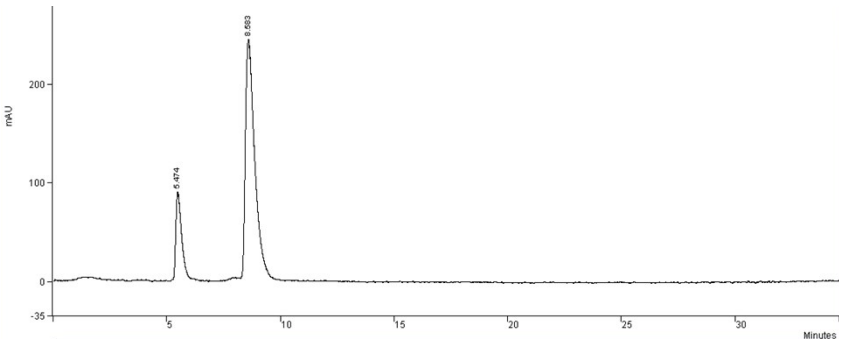



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 5,5 min; $t_2$ = 8,6 min.

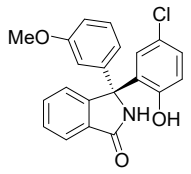



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 48.9943 | 5.449 | 0.000 | 16799292 | BB | 15.6 | |
| 2 | | 51.0057 | 8.669 | 0.000 | 17488952 | BB | 23.8 | |
| Totals: | | 100.0000 | | 0.000 | 34288244 | | | |



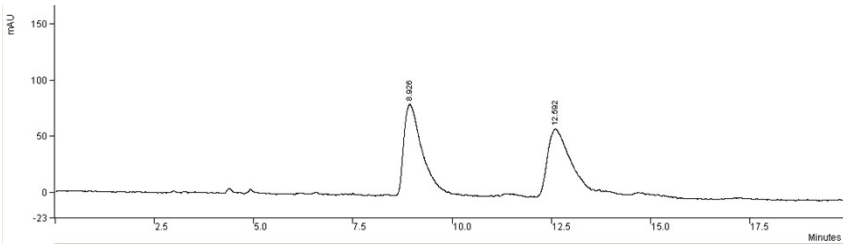

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 18.3049 | 5.474 | 0.000 | 15333313 | BB | 15.9 | |
| 2 | | 81.6951 | 8.583 | 0.000 | 68432648 | BB | 25.2 | |
| Totals: | | 100.0000 | | 0.000 | 83765961 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(3-methoxyphenyl)isoindolin-1-one (4)

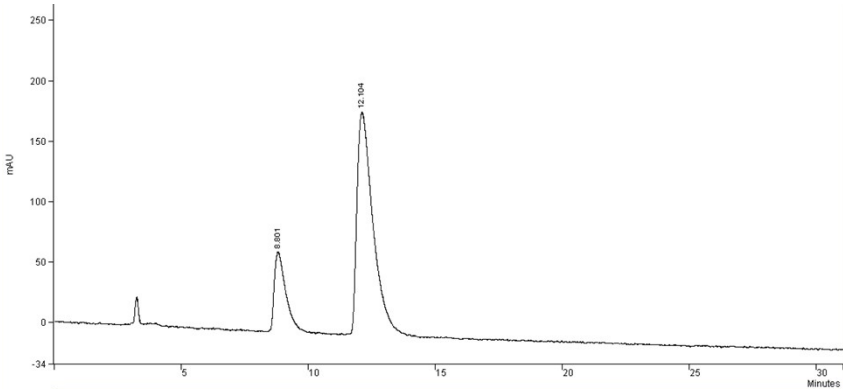



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 8,8 min; $t_2$ = 12,1 min.

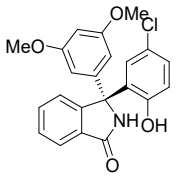



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.5322 | 8.926 | 0.000 | 23511198 | BB | 28.6 | |
| 2 | | 49.4678 | 12.592 | 0.000 | 23015918 | BB | 38.3 | |
| Totals: | | 100.0000 | | 0.000 | 46527116 | | | |



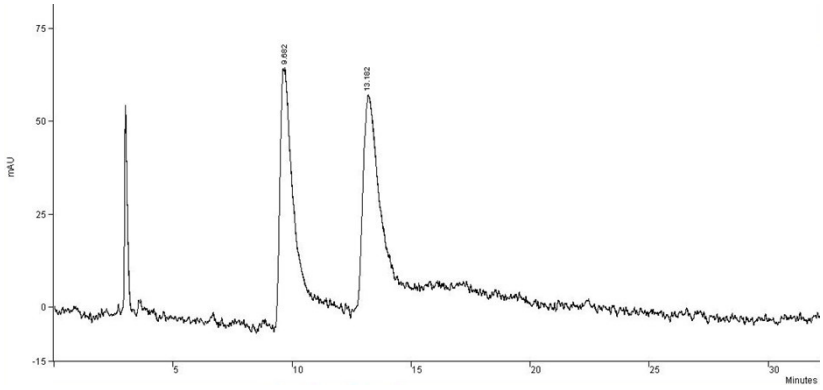

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 19.5560 | 8.801 | 0.000 | 19636960 | BB | 27.6 | |
| 2 | | 80.4440 | 12.104 | 0.000 | 80776816 | BB | 39.0 | |
| Totals: | | 100.0000 | | 0.000 | 100413776 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(3,5-dimethoxyphenyl)isoindolin-1-one (5)

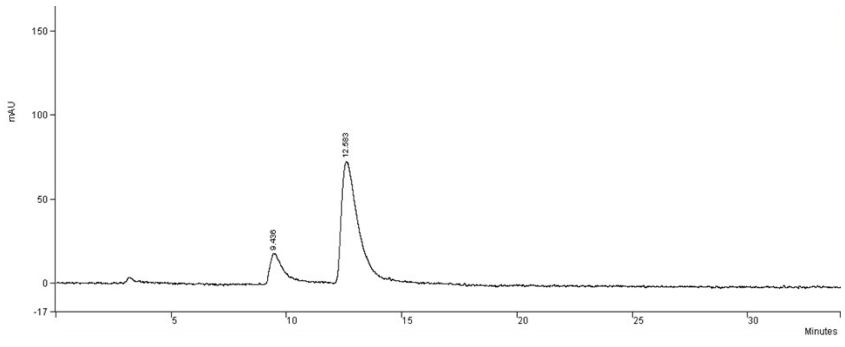



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 9,4 min; $t_2$ = 12,6 min.

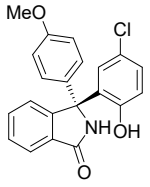



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.0126 | 9.682 | 0.000 | 23769908 | BB | 33.2 | |
| 2 | | 49.9874 | 13.182 | 0.000 | 23757952 | BB | 41.8 | |
| Totals: | | 100.0000 | | 0.000 | 47527860 | | | |



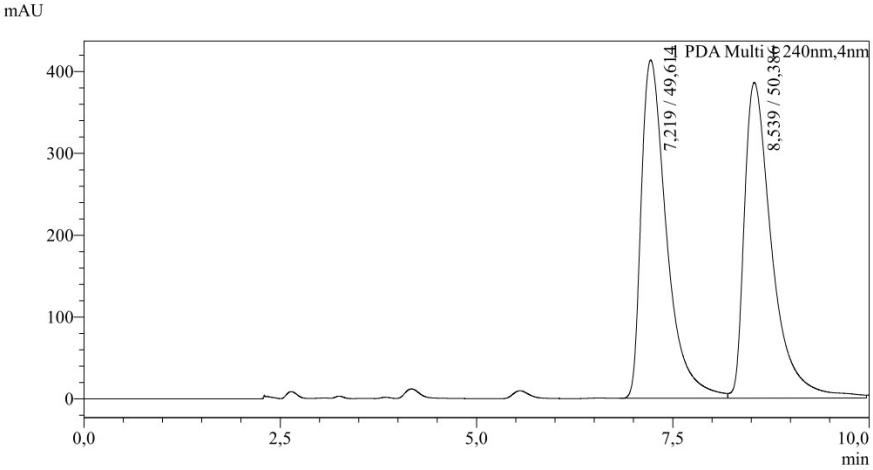

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 13.0591 | 9.436 | 0.000 | 5189807 | BB | 34.3 | |
| 2 | | 86.9409 | 12.583 | 0.000 | 34551240 | BB | 43.9 | |
| Totals: | | 100.0000 | | 0.000 | 39741047 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(4-methoxyphenyl)isoindolin-1-one (6)




**Column**: Daicel Chiralpak IA-3 (2.1 mmI.D. x 250 mmL)], 10 % IPA in hexane, flow rate 0.3 mL/min, 240 nm).

**Retention times**: $t_1$ = 7,3 min; $t_2$ = 8,6 min.

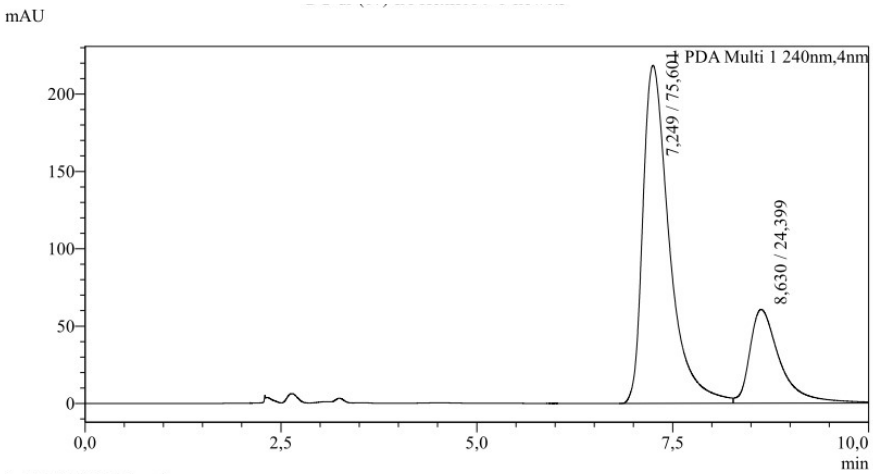



1 PDA Multi 1 / 240nm,4nm

Peak Table

PDA Ch1 240nm

| Peak# | Ret. Time | Peak Start | Peak End | Conc. | Height | Area | Area% |
|---|---|---|---|---|---|---|---|
| 1 | 7,219 | 6,832 | 8,200 | 49,614 | 413402 | 9300196 | 49,614 |
| 2 | 8,539 | 8,200 | 9,968 | 50,386 | 385850 | 9444801 | 50,386 |
| Total | | | | | 799252 | 18744997 | 100,000 |



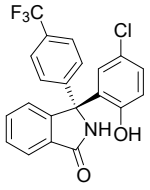

1 PDA Multi 1 / 240nm,4nm

Peak Table

PDA Ch1 240nm

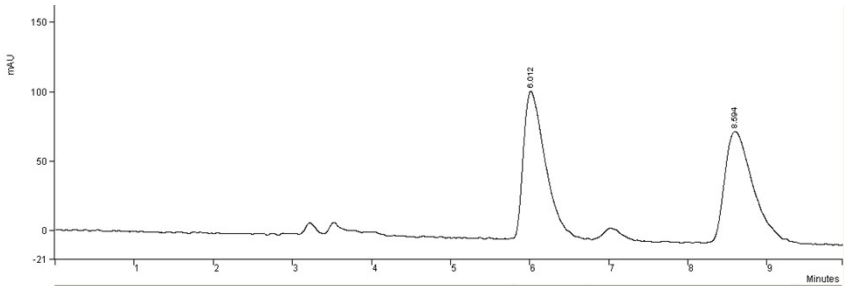
| Peak# | Ret. Time | Peak Start | Peak End | Conc. | Height | Area | Area% |
|---|---|---|---|---|---|---|---|
| 1 | 7,249 | 6,824 | 8,272 | 75,601 | 218416 | 5133373 | 75,601 |
| 2 | 8,630 | 8,272 | 11,048 | 24,399 | 60652 | 1656703 | 24,399 |
| Total | | | | | 279068 | 6790077 | 100,000 |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one (7)

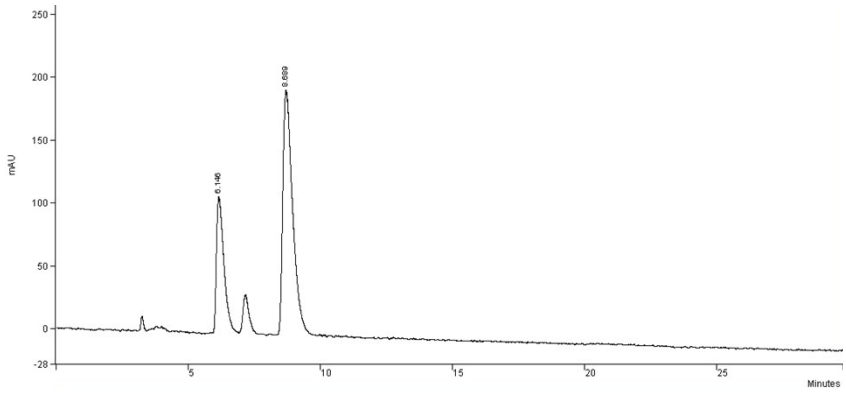



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,1 min; $t_2$ = 8,7 min.

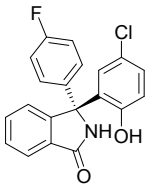



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.5469 | 6.012 | 0.000 | 20239806 | BB | 17.6 | |
| 2 | | 49.4531 | 8.594 | 0.000 | 19801830 | BB | 22.6 | |
| Totals: | | 100.0000 | | 0.000 | 40041636 | | | |



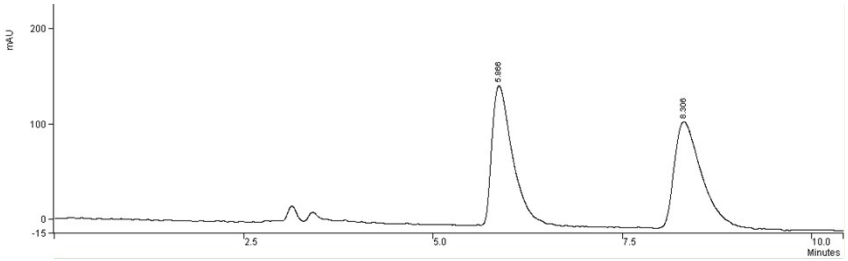

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 29.4607 | 6.146 | 0.000 | 21025782 | BB | 17.9 | |
| 2 | | 70.5393 | 8.689 | 0.000 | 50343244 | BB | 23.6 | |
| Totals: | | 100.0000 | | 0.000 | 71369026 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(4-fluorophenyl)isoindolin-1-one (8)

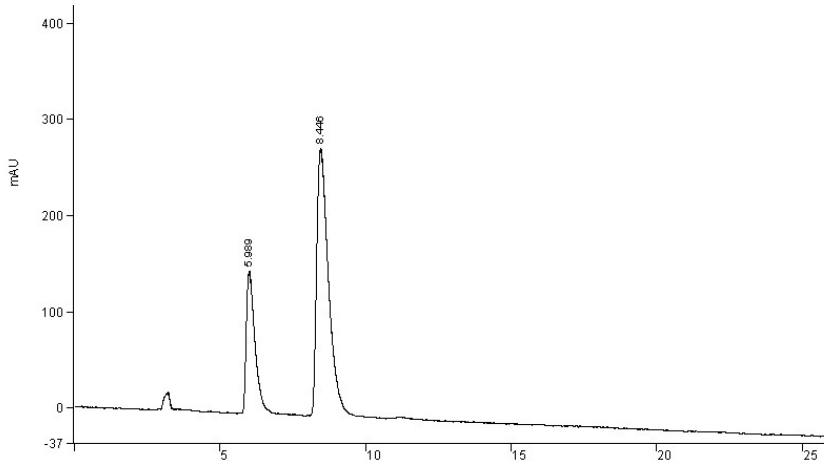



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,0 min; $t_2$ = 8,4 min.

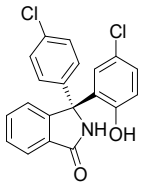



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.4546 | 5.866 | 0.000 | 27183830 | BB | 17.0 | |
| 2 | | 50.5454 | 8.306 | 0.000 | 27783422 | BB | 22.8 | |
| Totals: | | 100.0000 | | 0.000 | 54967252 | | | |



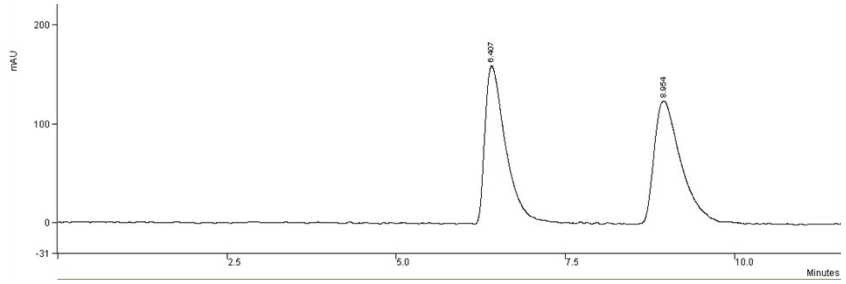

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 28.0673 | 5.989 | 0.000 | 30344810 | BB | 18.5 | |
| 2 | | 71.9327 | 8.446 | 0.000 | 77769464 | BB | 25.3 | |
| Totals: | | 100.0000 | | 0.000 | 108114274 | | | |

## (S)-3-(5-chloro-2-hydroxyphenyl)-3-(4-chlorophenyl)isoindolin-1-one (9)

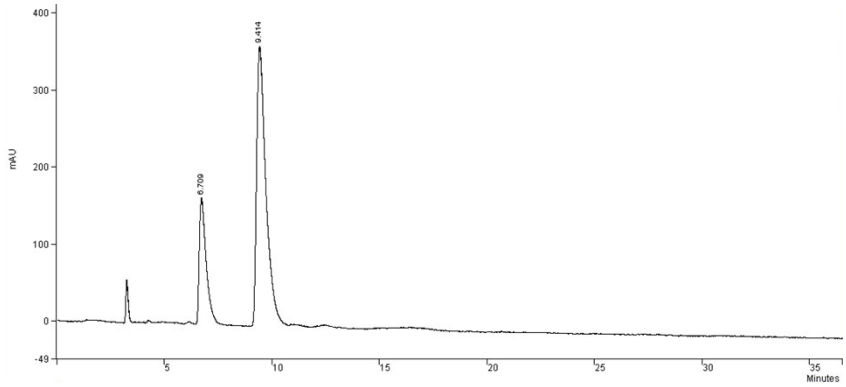



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,7 min; $t_2$ = 9,4 min.

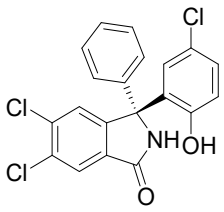



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.1057 | 6.407 | 0.000 | 33538748 | BB | 18.8 | |
| 2 | | 49.8943 | 8.954 | 0.000 | 33397184 | BB | 24.8 | |
| Totals: | | 100.0000 | | 0.000 | 66935932 | | | |



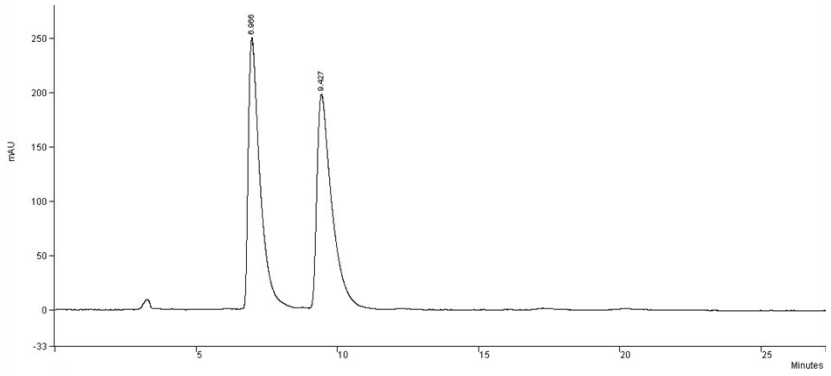

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 24.8452 | 6.709 | 0.000 | 36243008 | BB | 19.9 | |
| 2 | | 75.1548 | 9.414 | 0.000 | 109632096 | BB | 26.5 | |
| Totals: | | 100.0000 | | 0.000 | 145875104 | | | |

## (S)-5,6-dichloro-3-(5-chloro-2-hydroxyphenyl)-3-phenylisoindolin-1-one (11)

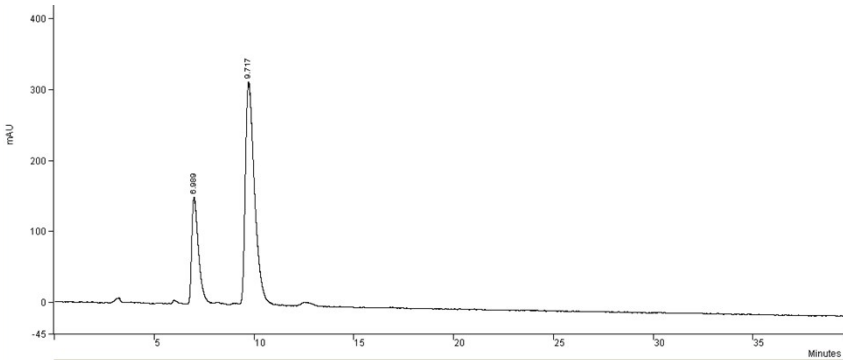



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 7,0 min; $t_2$ = 9,7 min.

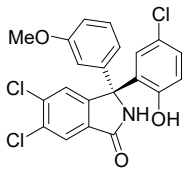



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.7814 | 6.966 | 0.000 | 71385672 | BB | 24.9 | |
| 2 | | 50.2186 | 9.427 | 0.000 | 72012632 | BB | 31.8 | |
| Totals: | | 100.0000 | | 0.000 | 143398304 | | | |



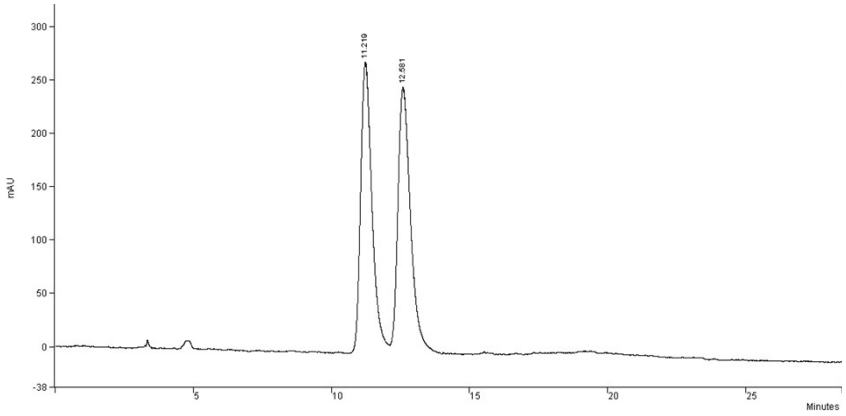

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 25.9088 | 6.989 | 0.000 | 34784624 | BB | 21.1 | |
| 2 | | 74.0912 | 9.717 | 0.000 | 99473280 | BB | 28.8 | |
| Totals: | | 100.0000 | | 0.000 | 134257904 | | | |

## (S)-5,6-dichloro-3-(5-chloro-2-hydroxyphenyl)-3-(3-methoxyphenyl)isoindolin-1-one (12)

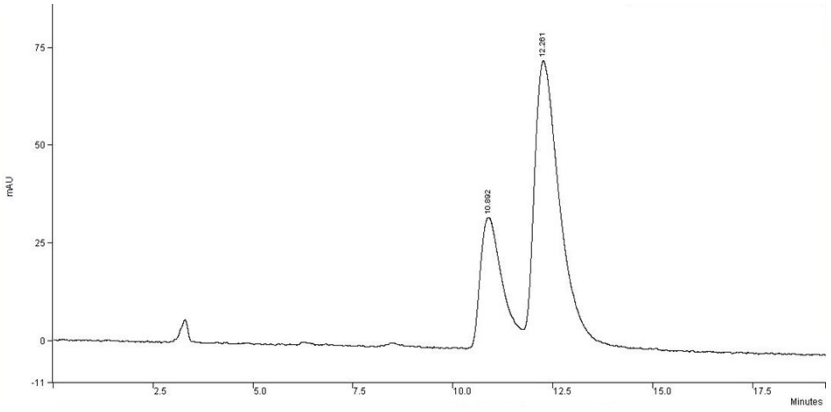



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 11,2 min; $t_2$ = 12,6 min.

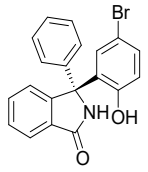



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.8618 | 11.219 | 0.000 | 74926648 | BB | 25.6 | |
| 2 | | 50.1382 | 12.581 | 0.000 | 75341984 | BB | 27.8 | |
| | Totals: | 100.0000 | | 0.000 | 150268632 | | | |



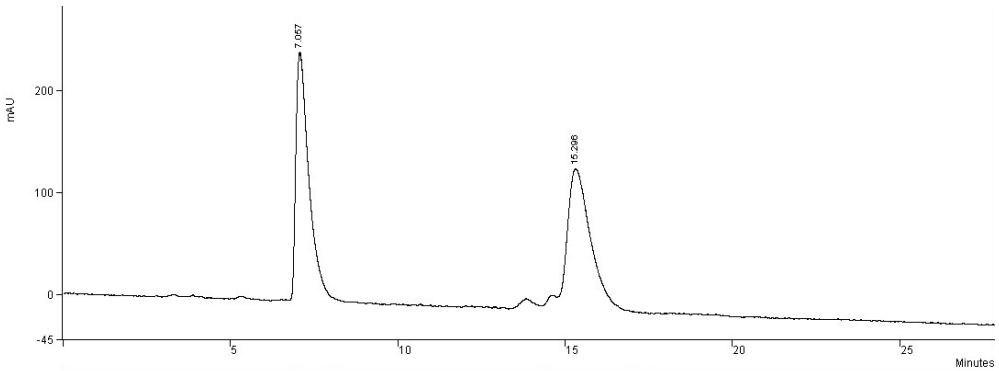

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 27.1475 | 10.892 | 0.000 | 10888125 | BB | 35.0 | |
| 2 | | 72.8525 | 12.261 | 0.000 | 29219198 | BB | 37.5 | |
| | Totals: | 100.0000 | | 0.000 | 40107323 | | | |

## (S)-3-(5-bromo-2-hydroxyphenyl)-3-phenylisoindolin-1-one (13)

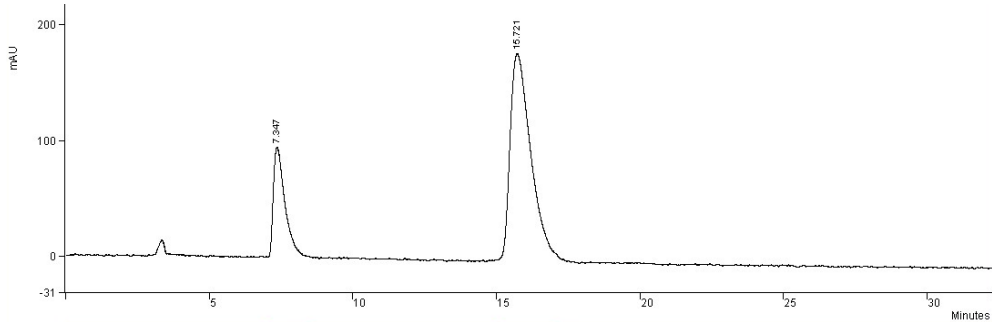



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 7,3 min; $t_2$ = 15,7 min.

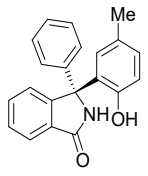



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 51.7979 | 7.057 | 0.000 | 64868364 | BB | 23.9 | |
| 2 | | 48.2021 | 15.296 | 0.000 | 60365164 | BB | 40.3 | |
| | Totals: | 100.0000 | | 0.000 | 125233528 | | | |



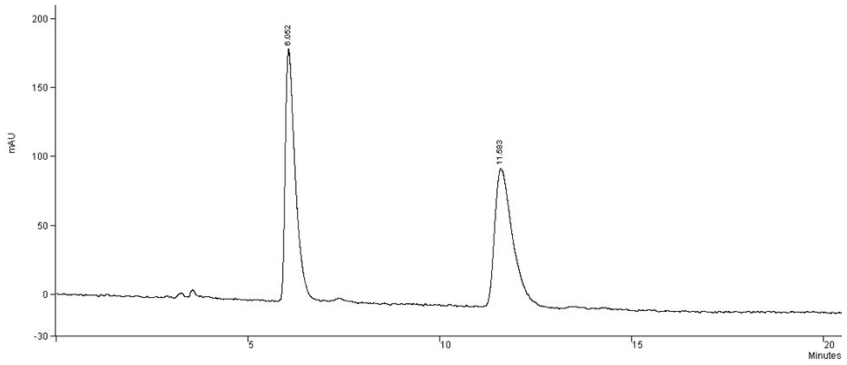

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 22.6228 | 7.347 | 0.000 | 25827602 | BB | 24.7 | |
| 2 | | 77.3772 | 15.721 | 0.000 | 88338560 | BB | 45.6 | |
| | Totals: | 100.0000 | | 0.000 | 114166162 | | | |

## (S)-3-(2-hydroxy-5-methylphenyl)-3-phenylisoindolin-1-one (14)

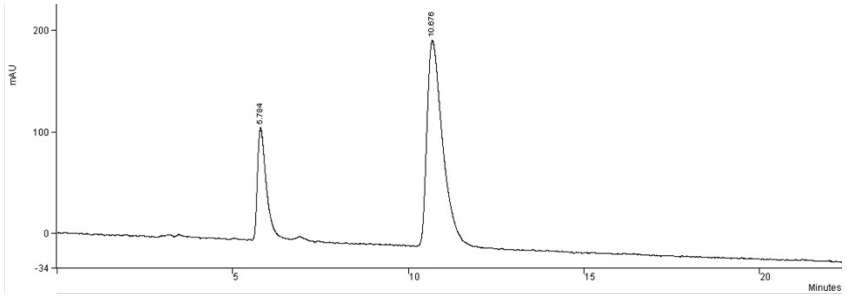



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 5,8 min; $t_2$ = 10,7 min.

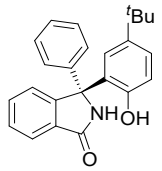



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.7904 | 6.052 | 0.000 | 32854168 | BB | 16.1 | |
| 2 | | 49.2096 | 11.583 | 0.000 | 31831556 | BB | 28.9 | |
| Totals: | | 100.0000 | | 0.000 | 64685724 | | | |



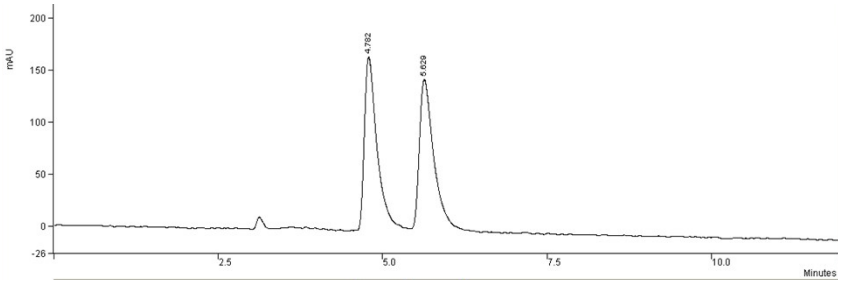

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 23.2298 | 5.784 | 0.000 | 18982686 | BB | 15.4 | |
| 2 | | 76.7702 | 10.676 | 0.000 | 62734312 | BB | 27.8 | |
| Totals: | | 100.0000 | | 0.000 | 81716998 | | | |

## (S)-3-(5-(tert-butyl)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (15)

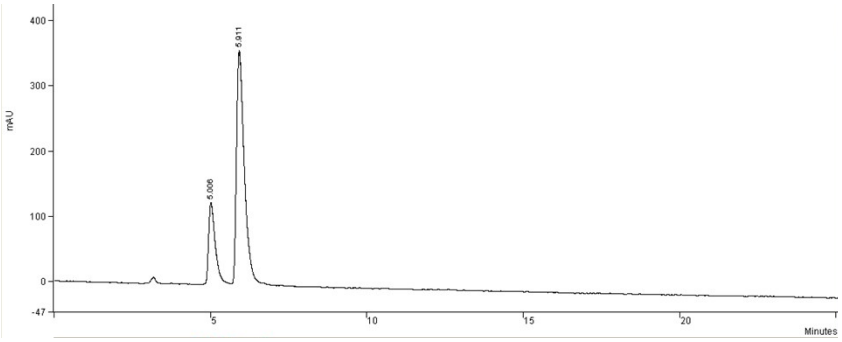



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 5,0 min; $t_2$ = 5,9 min.

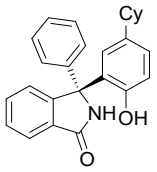



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.1912 | 4.782 | 0.000 | 21944272 | BB | 11.5 | |
| 2 | | 49.8088 | 5.629 | 0.000 | 21777124 | BB | 13.2 | |
| Totals: | | 100.0000 | | 0.000 | 43721396 | | | |



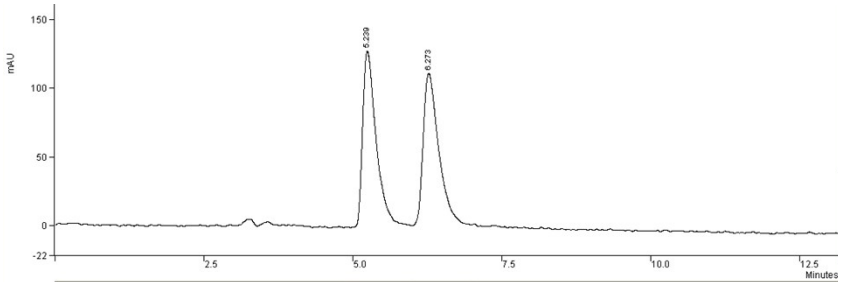

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 22.6506 | 5.006 | 0.000 | 17955626 | BB | 13.0 | |
| 2 | | 77.3494 | 5.911 | 0.000 | 61316416 | BB | 15.5 | |
| Totals: | | 100.0000 | | 0.000 | 79272042 | | | |

## (S)-3-(5-cyclohexyl-2-hydroxyphenyl)-3-phenylisoindolin-1-one (16)

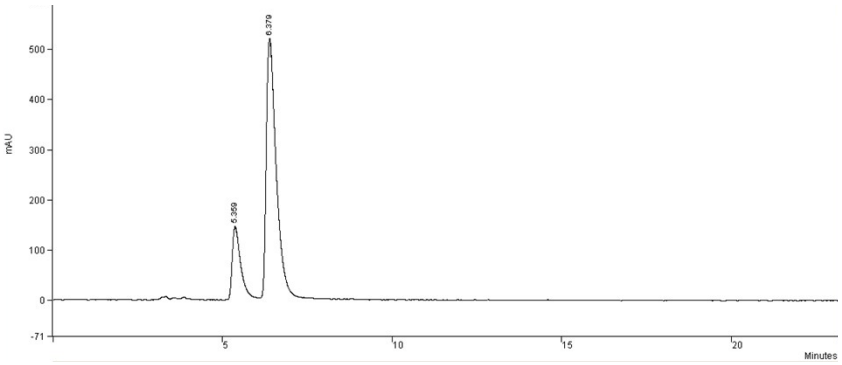



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 5,4 min; $t_2$ = 6,4 min.

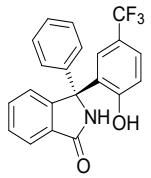



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.2778 | 5.239 | 0.000 | 20295366 | BB | 14.0 | |
| 2 | | 49.7222 | 6.273 | 0.000 | 20071066 | BB | 16.2 | |
| Totals: | | 100.0000 | | 0.000 | 40366432 | | | |



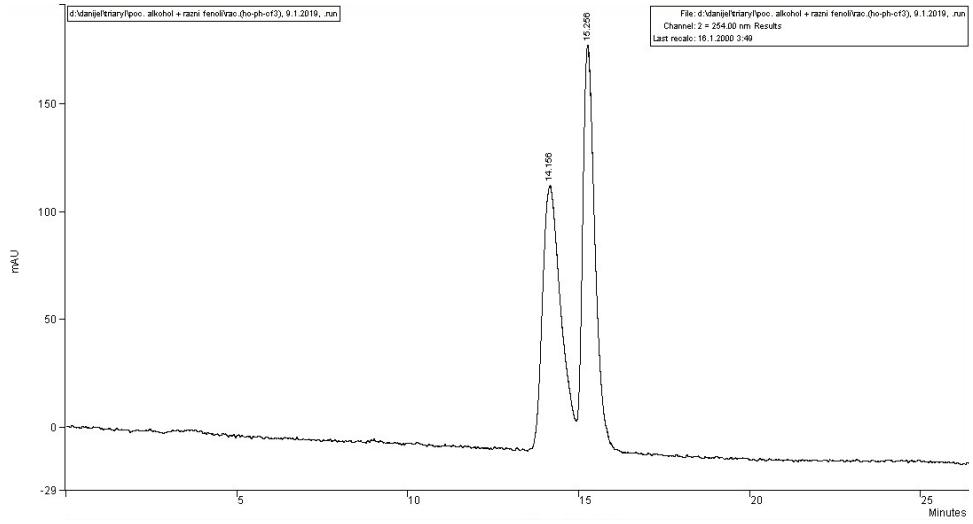

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 18.7691 | 5.359 | 0.000 | 24102392 | BB | 15.2 | |
| 2 | | 81.2309 | 6.379 | 0.000 | 104313120 | BB | 18.0 | |
| Totals: | | 100.0000 | | 0.000 | 128415512 | | | |

## (S)-3-(2-hydroxy-5-(trifluoromethyl)phenyl)-3-phenylisoindolin-1-one (17)



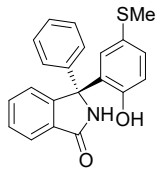

**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 5,4 min; $t_2$ = 6,4 min.



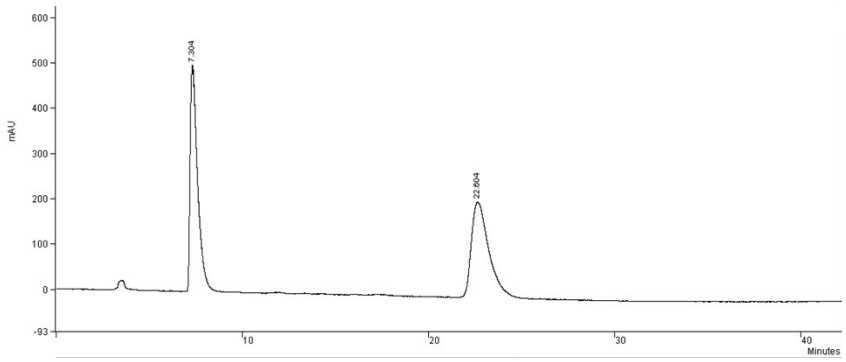

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.0657 | 14.156 | 0.000 | 40074112 | BB | 34.3 | |
| 2 | | 50.9343 | 15.256 | 0.000 | 41600288 | BB | 21.3 | |
| | Totals: | 100.0000 | | 0.000 | 81674400 | | | |

## (S)-3-(2-hydroxy-5-(methylthio)phenyl)-3-phenylisoindolin-1-one (18)

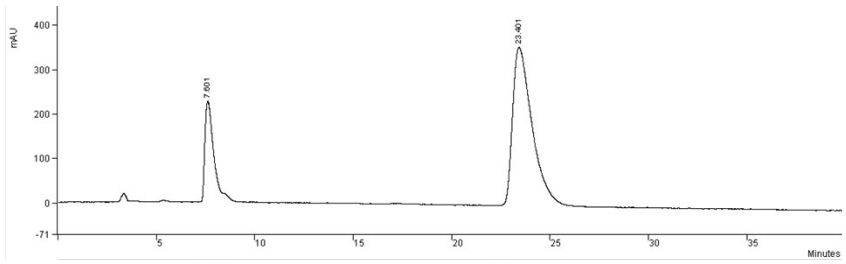



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 7,6 min; $t_2$ = 23,4 min.

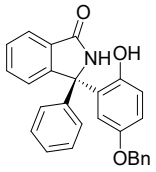



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.5880 | 7.304 | 0.000 | 138381904 | BB | 24.7 | |
| 2 | | 49.4120 | 22.604 | 0.000 | 135164768 | BB | 57.8 | |
| Totals: | | 100.0000 | | 0.000 | 273546672 | | | |



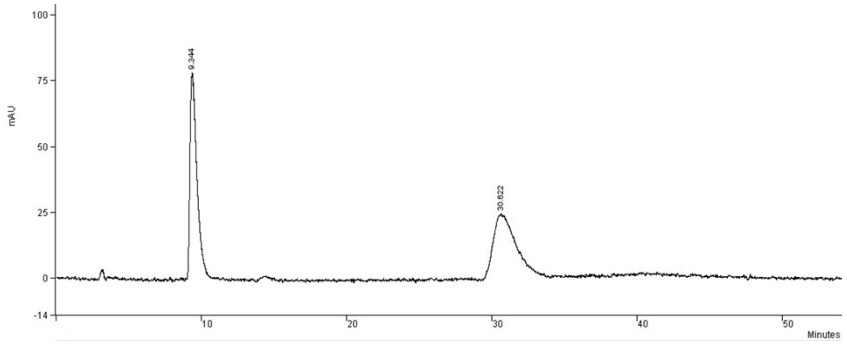

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 20.3043 | 7.601 | 0.000 | 61746096 | BB | 27.4 | |
| 2 | | 79.6957 | 23.401 | 0.000 | 242356944 | BB | 62.1 | |
| Totals: | | 100.0000 | | 0.000 | 304103040 | | | |

## (S)-3-(5-(benzyloxy)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (19)

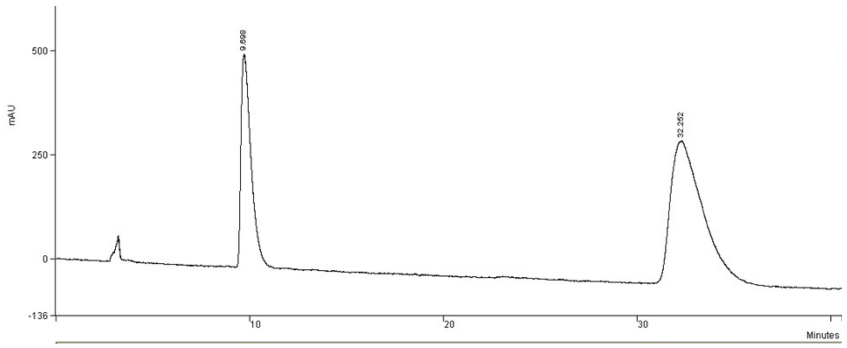



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 9,7 min; $t_2$ = 32,2 min.

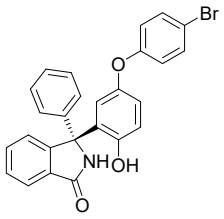



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.2407 | 9.344 | 0.000 | 25133704 | BB | 29.6 | |
| 2 | | 50.7593 | 30.622 | 0.000 | 25908870 | BB | 103.3 | |
| Totals: | | 100.0000 | | 0.000 | 51042574 | | | |



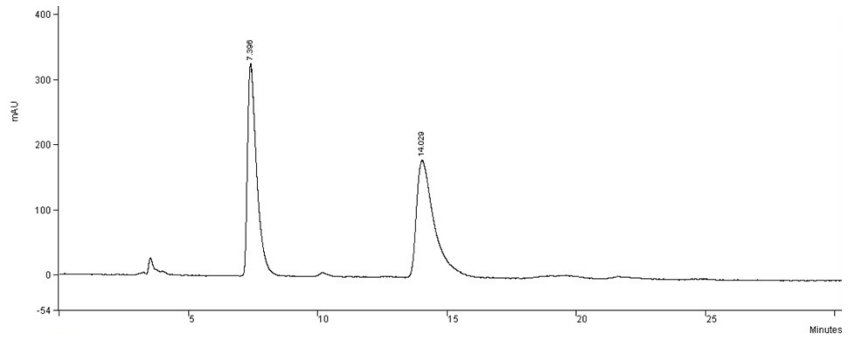

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 31.8559 | 9.698 | 0.000 | 181240944 | BB | 32.5 | |
| 2 | | 68.1441 | 32.252 | 0.000 | 387699072 | BB | 106.8 | |
| Totals: | | 100.0000 | | 0.000 | 568940016 | | | |

## (S)-3-(5-(4-bromophenoxy)-2-hydroxyphenyl)-3-phenylisoindolin-1-one (20)

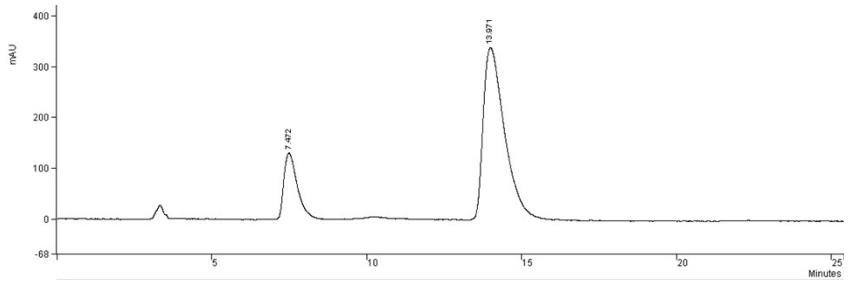



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 7,4 min; $t_2$ = 14,0 min.

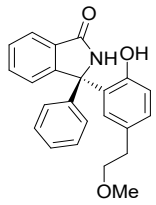



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.1706 | 7.396 | 0.000 | 79709464 | BB | 21.7 | |
| 2 | | 50.8294 | 14.029 | 0.000 | 82398552 | BB | 40.1 | |
| Totals: | | 100.0000 | | 0.000 | 162108016 | | | |



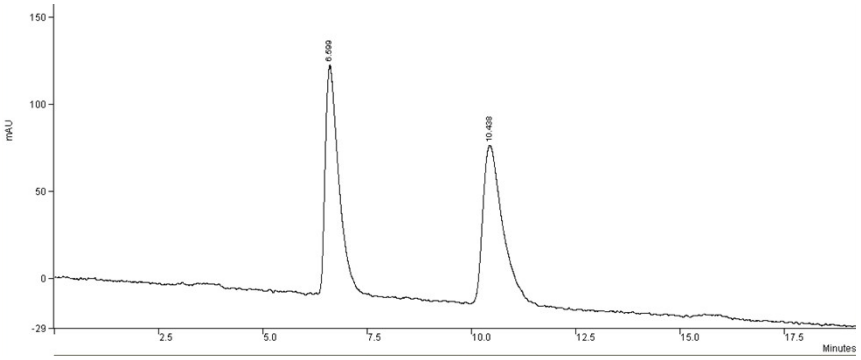

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 19.2116 | 7.472 | 0.000 | 38309160 | BB | 27.4 | |
| 2 | | 80.7884 | 13.971 | 0.000 | 161097328 | BB | 43.6 | |
| Totals: | | 100.0000 | | 0.000 | 199406488 | | | |

## (S)-3-(2-hydroxy-5-(2-methoxyethoxy)phenyl)-3-phenylisoindolin-1-one (21)

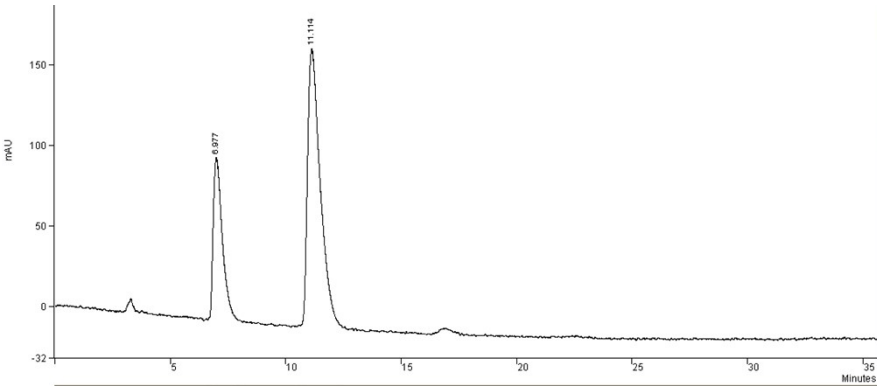



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,9 min; $t_2$ = 11,1 min.

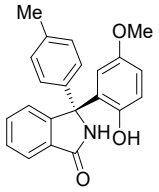



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.0886 | 6.599 | 0.000 | 29337190 | BB | 20.2 | |
| 2 | | 49.9114 | 10.438 | 0.000 | 29233368 | BB | 29.3 | |
| Totals: | | 100.0000 | | 0.000 | 58570558 | | | |



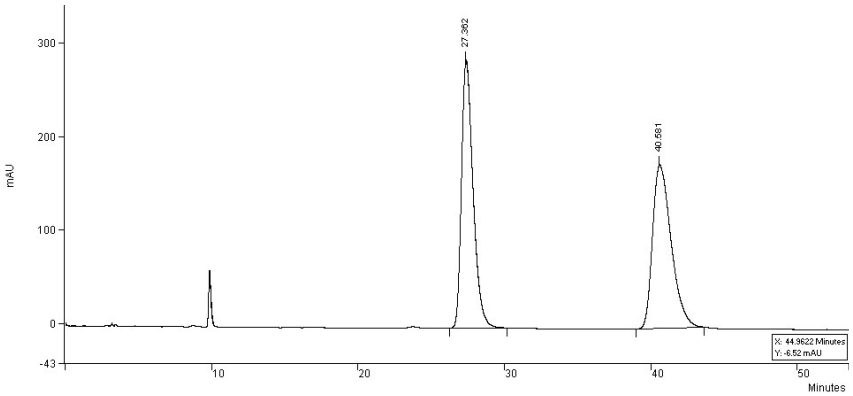

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 29.4105 | 6.977 | 0.000 | 27087878 | BB | 24.5 | |
| 2 | | 70.5895 | 11.114 | 0.000 | 65014752 | BB | 34.4 | |
| Totals: | | 100.0000 | | 0.000 | 92102630 | | | |

## (S)-3-(2-hydroxy-5-methoxyphenyl)-3-(p-tolyl)isoindolin-1-one (22)

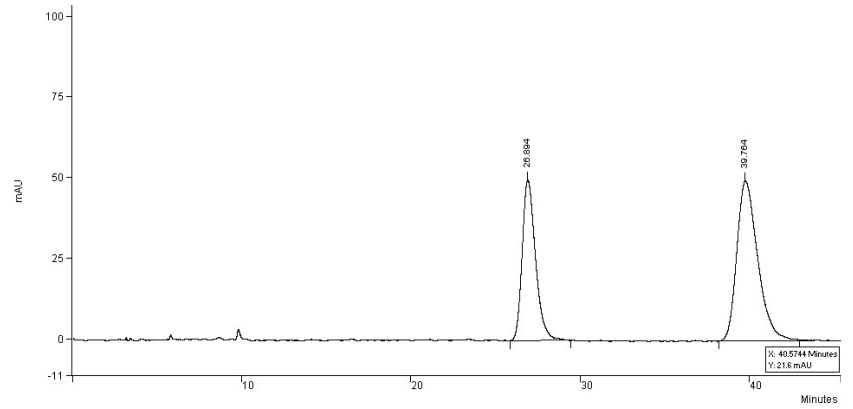



**Column**: Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm)

**Retention times**: $t_1$ = 26,9 min; $t_2$ = 39,8 min.

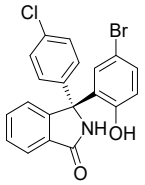



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.4163 | 27.362 | 0.000 | 8258722 | BB | 49.9 | |
| 2 | | 49.5837 | 40.581 | 0.000 | 8122339 | BB | 81.6 | |
| Totals: | | 100.0000 | | 0.000 | 16381061 | | | |



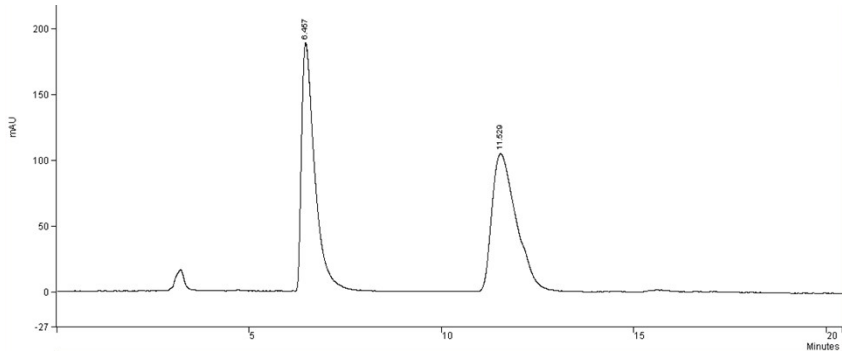

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 39.0471 | 26.894 | 0.000 | 1431942 | BB | 49.5 | |
| 2 | | 60.9529 | 39.764 | 0.000 | 2235272 | BB | 78.6 | |
| Totals: | | 100.0000 | | 0.000 | 3667214 | | | |

## (S)-3-(5-bromo-2-hydroxyphenyl)-3-(4-chlorophenyl)isoindolin-1-one (23)

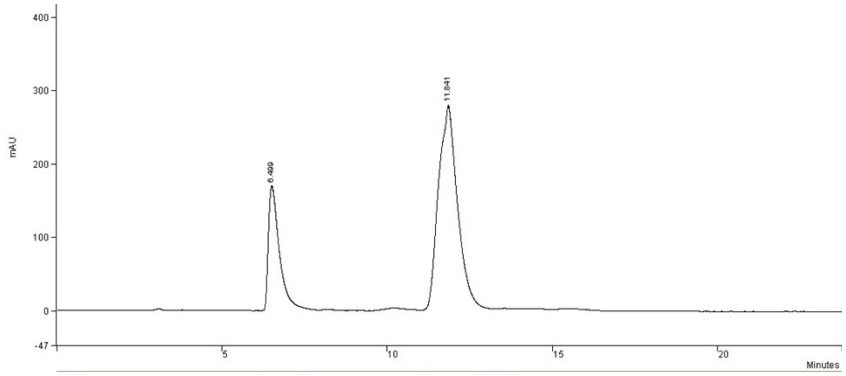



**Column**: OD, 10 % IPA in hexane, flow rate 1,0 mL/min, 254 nm

**Retention times**: $t_1$ = 6,5 min; $t_2$ = 11,8 min.

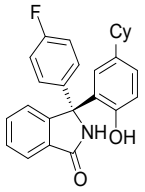



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.7911 | 6.457 | 0.000 | 45056036 | BB | 20.9 | |
| 2 | | 50.2089 | 11.529 | 0.000 | 45434156 | BB | 40.5 | |
| Totals: | | 100.0000 | | 0.000 | 90490192 | | | |



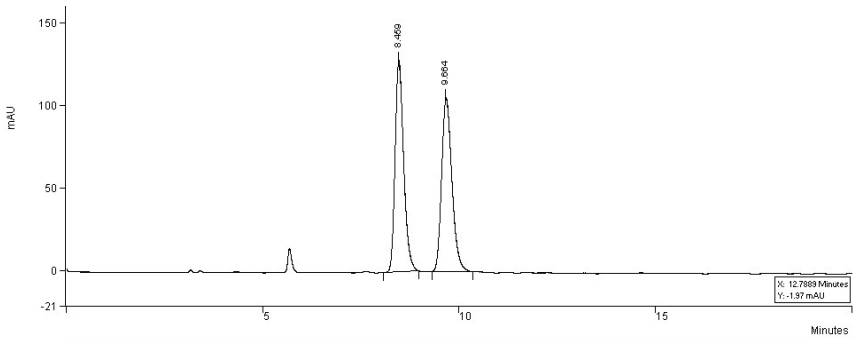

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 26.2929 | 6.499 | 0.000 | 39680172 | BB | 20.0 | |
| 2 | | 73.7072 | 11.841 | 0.000 | 111236024 | BB | 38.0 | |
| Totals: | | 100.0001 | | 0.000 | 150916196 | | | |

## (S)-3-(5-cyclohexyl-2-hydroxyphenyl)-3-(4-fluorophenyl)isoindolin-1-one (24)

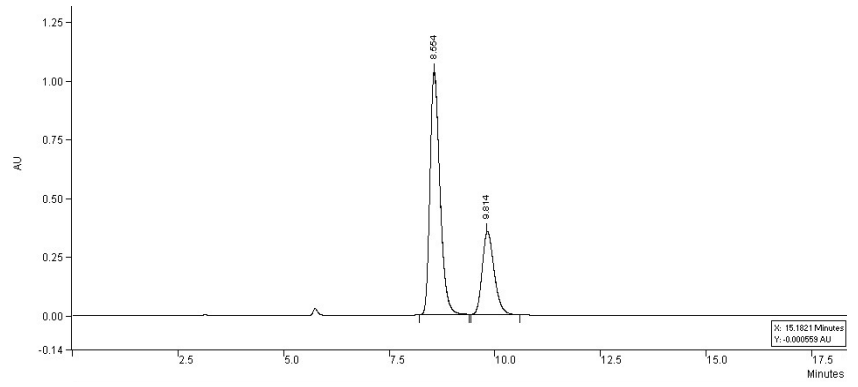



**Column**: Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm)

**Retention times**: $t_1$ = 8,5 min; $t_2$ = 9,8 min.

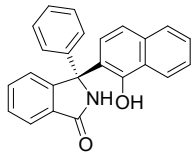



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 50.2924 | 8.459 | 0.000 | 1019870 | BB | 13.9 | |
| 2 | | 49.7076 | 9.664 | 0.000 | 1008011 | BB | 16.7 | |
| Totals: | | 100.0000 | | 0.000 | 2027881 | | | |



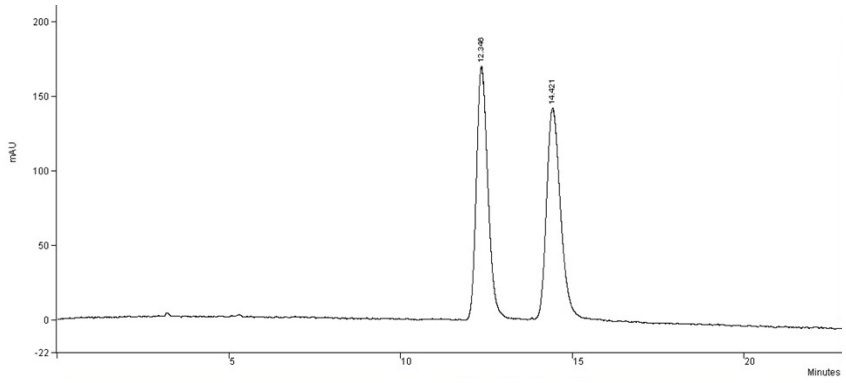

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 71.0518 | 8.554 | 0.000 | 8909700 | BB | 14.9 | |
| 2 | | 28.9482 | 9.814 | 0.000 | 3630026 | BB | 17.8 | |
| Totals: | | 100.0000 | | 0.000 | 12539726 | | | |

## (S)-3-(1-hydroxynaphthalen-2-yl)-3-phenylisoindolin-1-one (25)

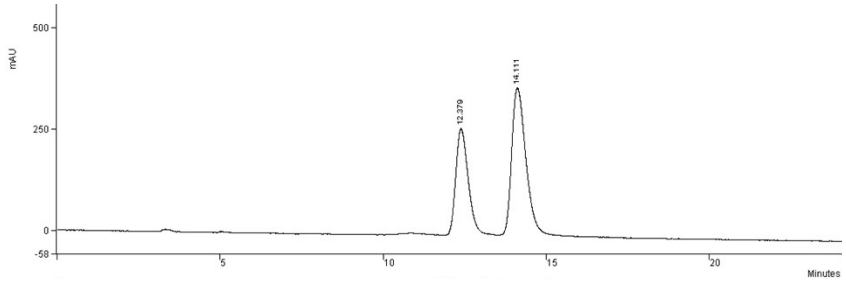



**Column**: Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm)

**Retention times**: $t_1$ = 12,4 min; $t_2$ = 14,1 min.

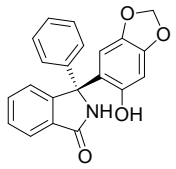



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.7903 | 12.346 | 0.000 | 39221500 | BB | 21.3 | |
| 2 | | 50.2097 | 14.421 | 0.000 | 39551916 | BB | 25.7 | |
| Totals: | | 100.0000 | | 0.000 | 78773416 | | | |



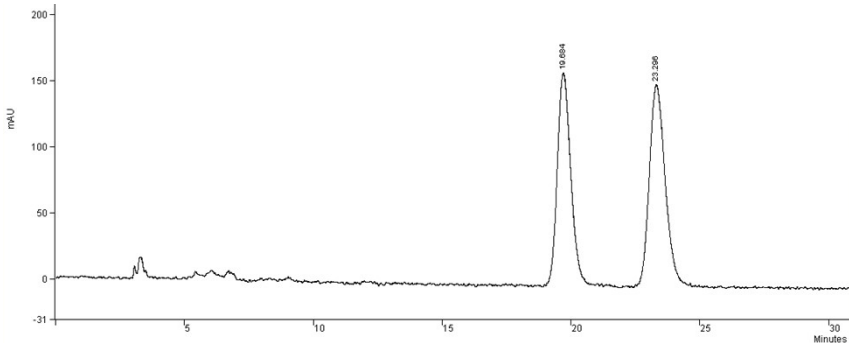

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 38.6389 | 12.379 | 0.000 | 67756120 | BB | 24.2 | |
| 2 | | 61.3611 | 14.111 | 0.000 | 107601216 | BB | 27.5 | |
| Totals: | | 100.0000 | | 0.000 | 175357336 | | | |

## (S)-3-(6-hydroxybenzo[d][1,3]dioxol-5-yl)-3-phenylisoindolin-1-one (26)

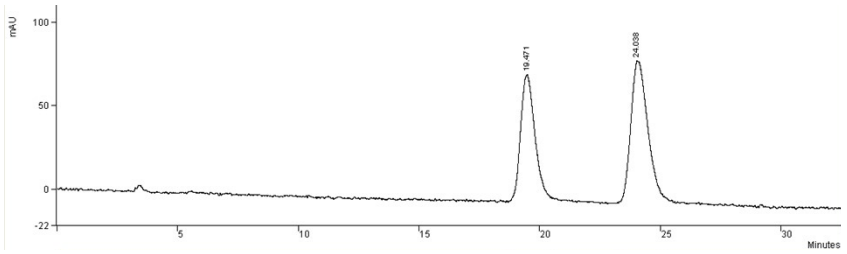


**Column**: Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm)

**Retention times**: $t_1$ = 19,5 min; $t_2$ = 24,0 min.

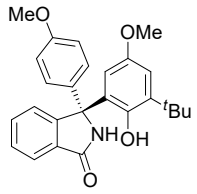



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.0085 | 19.684 | 0.000 | 57467104 | BB | 33.5 | |
| 2 | | 50.9915 | 23.296 | 0.000 | 59792468 | BB | 38.0 | |
| Totals: | | 100.0000 | | 0.000 | 117259572 | | | |



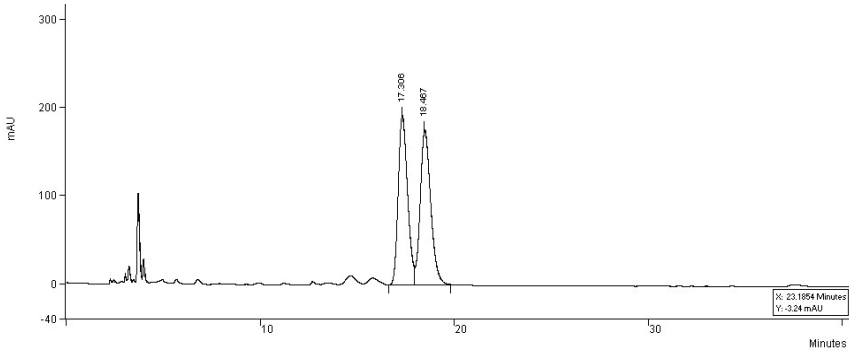

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 43.3166 | 19.471 | 0.000 | 29976256 | BB | 37.9 | |
| 2 | | 56.6834 | 24.038 | 0.000 | 39226420 | BB | 44.6 | |
| Totals: | | 100.0000 | | 0.000 | 69202676 | | | |

**(S)-3-(3-(tert-butyl)-2-hydroxy-5-methoxyphenyl)-3-(3- methoxyphenyl)isoindolin-1-one (27)**

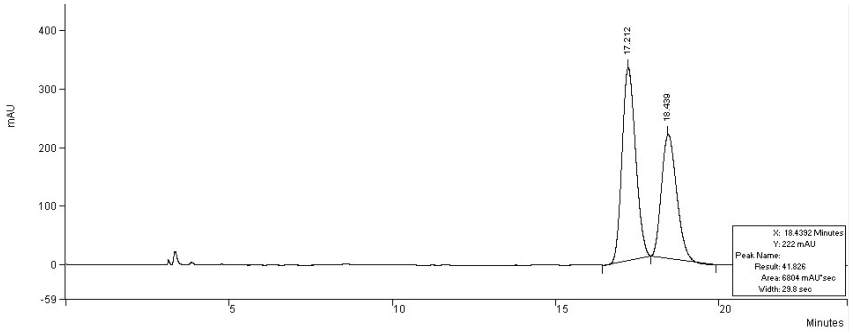



**Column**: Daicel Chiralpack IC-3 (0.46 cmI.D. x 25 cmL)], 10 % IPA in hexane, flow rate 1.0 mL/min, 254 nm)

**Retention times**: $t_1$ = 17,2 min; $t_2$ = 18,4 min.

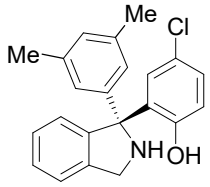



| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 49.4480 | 17.306 | 0.000 | 3383710 | BV | 31.7 | |
| 2 | | 50.5520 | 18.467 | 0.000 | 3459253 | VB | 34.8 | |
| Totals: | | 100.0000 | | 0.000 | 6842963 | | | |



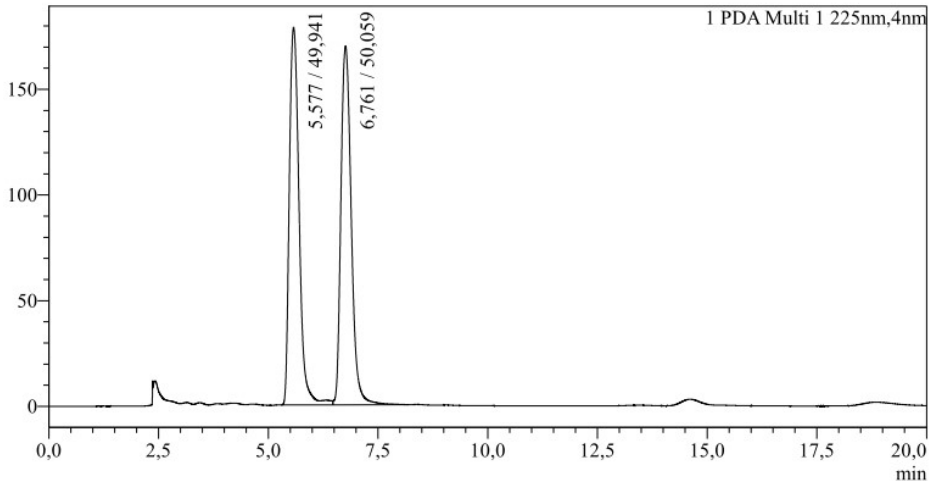

| Peak No. | Peak Name | Result () | Ret. Time (min) | Time Offset (min) | Area (counts) | Sep. Code | Width 1/2 (sec) | Status Codes |
|---|---|---|---|---|---|---|---|---|
| 1 | | 58.1739 | 17.212 | 0.000 | 4961364 | BB | 27.5 | |
| 2 | | 41.8261 | 18.439 | 0.000 | 3567137 | BB | 29.8 | |
| Totals: | | 100.0000 | | 0.000 | 8528501 | | | |

## (S)-4-chloro-2-(1-(3,5-dimethylphenyl)isoindolin-1-yl)phenol (30)




**Column**: Daicel Chiralpak IA-3 (2.1 mmI.D. x 250 mmL)], 5 % IPA in hexane, flow rate 0.3 mL/min, 225 nm). 82:18 e.r.

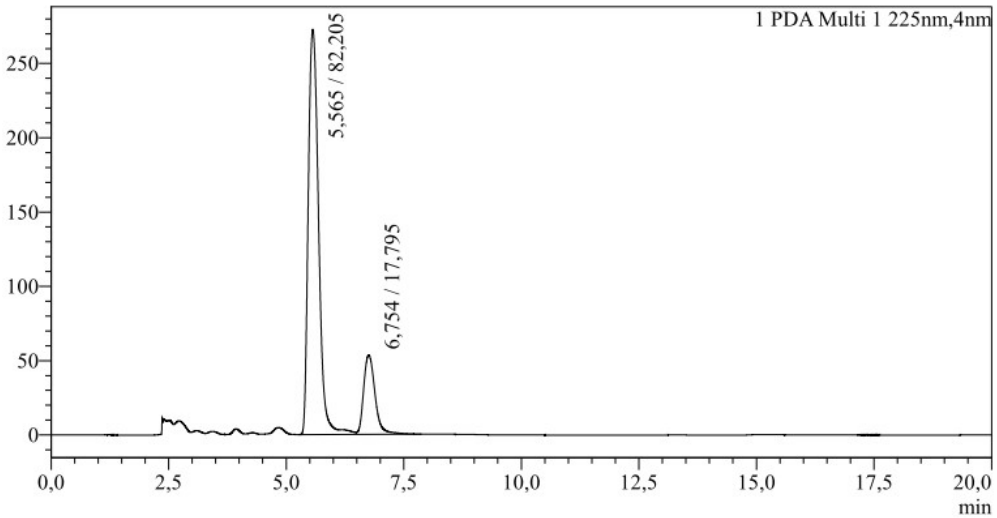
**Retention times**: $t_1$ = 5,6 min; $t_2$ = 6,8 min.




Peak Table

PDA Ch1 225nm

| Peak# | Ret. Time | Peak Start | Peak End | Conc. | Height | Area | Area% |
|---|---|---|---|---|---|---|---|
| 1 | 5,577 | 5,304 | 6,472 | 49,941 | 178510 | 2775274 | 49,941 |
| 2 | 6,761 | 6,472 | 8,192 | 50,059 | 169544 | 2781780 | 50,059 |
| Total | | | | | 348054 | 5557054 | 100,000 |




Peak Table

PDA Ch1 225nm

| Peak# | Ret. Time | Peak Start | Peak End | Conc. | Height | Area | Area% |
|---|---|---|---|---|---|---|---|
| 1 | 5,565 | 5,272 | 6,488 | 82,205 | 272593 | 4158669 | 82,205 |
| 2 | 6,754 | 6,488 | 7,864 | 17,795 | 53414 | 900217 | 17,795 |
| Total | | | | | 326007 | 5058885 | 100,000 |