Supporting Information

Table of Contents

1. GENERAL INFORMATION

Unless otherwise indicated, solvents were used as supplied (analytical or HPLC grade) without further purification. “Petrol” or “petroleum ether” refers to the fraction of petroleum ether boiling in the range 40−60 °C. Where mixtures of solvents are specified, the stated ratios are volume:volume. Unless otherwise indicated, all aqueous solutions used were saturated. Reagents were used directly as supplied by major chemical suppliers.

Flash column chromatography was carried out using silica gel (Merck, 40−63 μm particle size). Analytical thin-layer chromatography was carried out on Merck Kieselgel 60 F_{254} 0.25 mm precoated aluminium plates. Visualization was carried out under ultra-violet irradiation (254 nm) and by appropriate heating with ammonium molybdate. Ammonium molybdate solution was prepared by dissolving ammonium molybdate (5 g) and ceric sulfate (0.2 g) in 5% aqueous sulfuric acid (100 mL).

NMR spectra were recorded on Bruker Avance 600 MHz 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 non-deuterated solvent peak. ^{1}H spectra are reported as follows: *^{1}H NMR* (*spectrometer frequency, solvent*): *δ chemical shift/ppm* (*multiplicity, number of protons, J-coupling constant(s), assignment*). ^{13}C spectra are reported as follows: *^{13}C NMR* (*spectrometer frequency, solvent*): *δ chemical shift/ppm* (*assignment*). Multiplets are abbreviated as follows: br – *broad*; s – *singlet*; d – *doublet*; t – *triplet*; q – *quartet*; m – *multiplet*, and are reported based on appearance rather than interpretation. Compound multiplets are reported in the order of decreasing coupling constant magnitude. Spectral assignment was aided by the results of DEPT, COSY, HMBC and HSQC experiments where appropriate. Spectra were acquired at 298 K.

Infra-red spectra were recorded on a Bruker Tensor 27 FTIR spectrometer equipped with an attenuated total reflectance attachment with internal calibration. Absorbtion maxima (ν_{max}) are reported in wavenumbers (cm^{-1}).

Mass spectrometry measurements were performed on HPLC system coupled with 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.

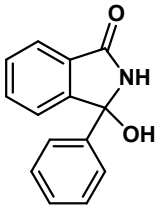
Melting points were determined using an Electrothermal 9100 apparatus in open capillaries and are uncorrected.

Where given, systematic compound names are those generated by ChemBioDraw Ultra 12.0 following IUPAC conventions. The numbering of atoms for discussion and spectral assignment purposes is arbitrary and not necessarily consistent with the IUPAC names.

Chiral phosphoric acids have been prepared according to known procedures, and obtained data corresponds to data reported therein: **BA1**,[1] **BA2**,[1] **BA3**,[1] **BA4**[2] and **BA5.**[3] Racemic standards were obtained by employing toluenesulfonic acid. Racemic standards were obtained by employing *p*-toluenesulfonic acid (10 mol%) instead of chiral catalyst.

2. SYNTHESIS AND CHARACTERIZATION DATA OF ALCOHOLS 27–35

2.1 3-hydroxy-3-phenylisoindolin-1-one (27)



Magnesium turnings (245 mg, 10.2 mmol) were suspended in dry THF (10 mL) under argon, and further activated with a single crystall of iodine. Bromobenzene (1.07 mL, 10.2 mmol) was added, and the reaction mixture was stirred for 2 h at 40 °C. Phtalimide (500 mg, 3.4 mmol) was suspended in dichloromethane (10 mL) under argon at 0 °C. Grignard solution was added dropwise, and the resulting mixture was stirred at room temperature for 3 h. The reaction was quenched with sat. NH_4Cl, and the product extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. The product was obtained by flash column chromatography in ethyl acetate-petrol 2:1 as a white solid. Yield: 719 mg (94 %).

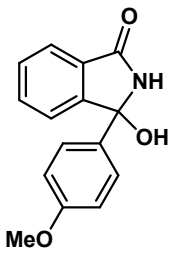
Data in accordance with literature.[4]

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.19 – 7.11 (m, 3H), 7.08 (dd, *J* = 10.9, 4.1 Hz, 1H), 6.99 – 6.88 (m, 5H), 6.68 (s, 1H), 4.17 (s, 1H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 169.9, 150.0, 139.9, 133.2, 129.5, 129.4, 128.6, 128.6, 125.5, 123.6, 122.9, 88.2.

ESI–MS: 248 [M+Na$^+$]

2.2 3-hydroxy-3-(4-methoxyphenyl)isoindolin-1-one (28)



Magnesium turnings (245 mg, 10.2 mmol) were suspended in dry THF (10 mL) under argon, and further activated with a single crystall of iodine. 4-bromoanisole (1.28 mL, 10.2 mmol) was added, and the reaction mixture was stirred for 2 h at 40 °C. Phtalimide (500 mg, 3.4 mmol) was suspended in dichloromethane (10 mL) under argon at 0 °C. Grignard solution was added dropwise, and the resulting mixture was stirred at room temperature for 3 h. The reaction was quenched with sat. NH_4Cl, and the product extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. The product was obtained by flash column chromatography in ethyl acetate-petrol 2:1 as a white solid. Yield: 754 mg (87 %).

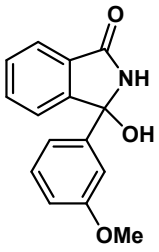
Data in accordance with literature.[5]

^{1}H NMR (300 MHz, DMSO) δ 9.17 (s, 1H), 7.62 (d, *J* = 7.3 Hz, 1H), 7.58 – 7.35 (m, 4H), 7.29 (d, *J* = 7.3 Hz, 1H), 6.89 (d, *J* = 8.8 Hz, 2H), 6.80 (s, 1H), 3.72 (s, 3H).

^{13}C NMR (75 MHz, DMSO) δ 168.8, 159.3, 151.6, 134.5, 132.8, 131.0, 129.2, 127.2, 123.2, 122.9, 114.0, 87.6, 55.6.

ESI–MS: 256 [M+H$^+$]

2.3 3-hydroxy-3-(3-methoxyphenyl)isoindolin-1-one (29)



Magnesium turnings (245 mg, 10.2 mmol) were suspended in dry THF (10 mL) under argon, and further activated with a single crystall of iodine. 3-bromoanisole (1.29 mL, 10.2 mmol) was added, and the reaction mixture was stirred for 2 h at 40 °C. Phtalimide (500 mg, 3.4 mmol) was suspended in dichloromethane (10 mL) under argon at 0 °C. Grignard solution was added dropwise, and the resulting mixture was stirred at room temperature for 3 h. The reaction was quenched with sat. NH_4Cl, and the product extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. The product was obtained by flash column chromatography in ethyl acetate-petrol 2:1 as a white solid. Yield: 698 mg (81 %).

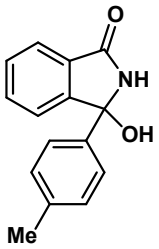
Data in accordance with literature.[5]

^{1}H NMR (300 MHz, DMSO) δ 9.19 (s, 1H), 7.63 (d, *J* = 7.3 Hz, 1H), 7.57 – 7.42 (m, 2H), 7.33 (d, *J* = 7.1 Hz, 1H), 7.24 (t, *J* = 8.0 Hz, 1H), 7.12 – 7.04 (m, 1H), 6.96 (d, *J* = 7.8 Hz, 1H), 6.89 – 6.81 (m, 2H), 3.74 (s, 3H).

^{13}C NMR (75 MHz, DMSO) δ 168.8, 159.7, 151.2, 144.3, 132.8, 131.0, 129.8, 129.4, 123.2, 123.0, 118.2, 113.4, 111.9, 87.7, 55.5.

ESI–MS: 256 [M+H$^+$]

2.4 3-hydroxy-3-(*p*-tolyl)isoindolin-1-one (30)



Magnesium turnings (245 mg, 10.2 mmol) were suspended in dry THF (10 mL) under argon, and further activated with a single crystall of iodine. 4-bromotoluene (1.25 mL, 10.2 mmol) was added, and the reaction mixture was stirred for 2 h at 40 °C. Phtalimide (500 mg, 3.4 mmol) was suspended in dichloromethane (10 mL) under argon at 0 °C. Grignard solution was added dropwise, and the resulting mixture was stirred at room temperature for 3 h. The reaction was quenched with sat. NH_4Cl, and the product extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. The product was obtained by flash column chromatography in ethyl acetate-petrol 2:1 as a pale yellow solid. Yield: 674 mg (83 %).

Data in accordance with literature.[5]

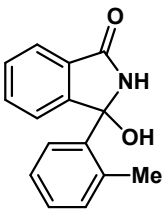
^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56 – 7.28 (m, 6H), 7.12 (d, *J* = 8.0 Hz, 2H), 7.02 (br s, 1H), 4.51 (s, 1H), 2.31 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$)* δ 169.9, 150.1, 138.4, 136.9, 133.1, 129.4, 129.3, 125.4, 123.6, 122.8, 88.2, 21.1.

ESI–MS: 240 [M+H$^+$]

* *One aromatic carbon not visible.*

2.5 3-hydroxy-3-(*o*-tolyl)isoindolin-1-one (31)



Magnesium turnings (198 mg, 8.16 mmol) were covered with diethyl ether (3 mL), and further activated by the addition of a single crystal of iodine. 2-bromotoluene (491 µL, 4.08 mmol) and 1,2-dibromoethane (one drop) were dissolved in diethyl ether (5 mL), and added *via* a syringe to the magnesium suspension, and the resulting mixture was refluxed for 16 h. Phthalimide (200 mg, 1.36 mmol) was suspended in dichloromethane (5 mL). The Grignard solution was allowed to cool to room temperature, and added *via* a syringe to the phthalimide suspension. The resulting mixture was stirred for 3 h at room temperature, and quenched with sat. NH_4Cl solution. The aqueous layer was extracted several times with diethyl ether. Combined organic layers were dried over Na_2SO_4 and concentrated *in vacuo*. Pure product was obtained by flash column chromatography in petrol-ethyl acetate 2:1 as a white solid. Yield: 151 mg (46 %).

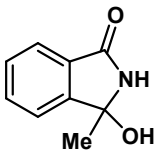
Data in accordance with literature.[6]

^{1}H NMR (300 MHz, DMSO) δ 9.08 (s, 1H), 7.94 – 7.86 (m, 1H), 7.69 – 7.63 (m, 1H), 7.58 – 7.45 (m, 2H), 7.21 (ddd, *J* = 19.4, 13.4, 7.5 Hz, 3H), 7.07 (d, *J* = 6.8 Hz, 1H), 6.75 (s, 1H), 1.84 (s, 3H).

^{13}C NMR (75 MHz, DMSO)* δ 169.1, 150.5, 139.3, 135.7, 132.9, 132.3, 129.5, 128.5, 127.6, 126.2, 123.1, 122.9, 87.4, 20.4.

ESI–MS: 240 [M+H$^+$]

2.6 3-hydroxy-3-methylisoindolin-1-one (32)



Phtalimide (500 mg, 3.4 mmol) was dissolved in diethyl ether (10 mL) at 0 °C under argon. Methylmagnesium bromide (3.0 M solution in Et_2O) (3.4 mL, 10.20 mmol) was added, and the reaction mixture was allowed to warm to room temperature and stirred overnight. Water (20 mL) was added, and the aqueous layer was extracted with diethyl ether. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. Pure product was obtained by flash column chromatography in ethyl acetate–petrol 3:1 as a white solid. Yield: 504 mg (91 %).

Data in accordance with literature.[7]

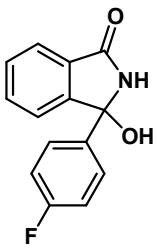
^{1}H NMR (600 MHz, DMSO) δ 8.80 (s, 1H), 7.64 – 7.53 (m, 3H), 7.52 – 7.44 (m, 1H), 6.09 (s, 1H), 1.60 (s, 3H).

^{13}C NMR (151 MHz, DMSO) δ 167.4, 150.5, 132.0, 131.0, 128.8, 122.3, 121.8, 84.8, 26.6.

ESI–MS: 186 [M+Na$^+$]

* *One aromatic carbon not visible.*

2.7 3-(4-fluorophenyl)-3-hydroxyisoindolin-1-one (33)



Magnesium turnings (98 mg, 4.08 mmol) were suspended in dry THF (5 mL) under argon, and further activated with a single crystall of iodine. 1-bromo-4-fluorobenzene (448 µL, 4.08 mmol) was added, and the reaction mixture was stirred for 24 h at reflux. Phthalimide (200 mg, 1.36 mmol) was suspended in dichloromethane (5 mL) under argon at 0 °C. Grignard solution was cooled to room temperature and added dropwise to the phthalimide suspension. Resulting mixture was stirred at room temperature for 3 h. The reaction was quenched with sat. NH_4Cl, and the product extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated in vacuo. The product was obtained by flash column chromatography in ethyl acetate-petrol 2:1 as a white solid. Yield: 76 mg (22 %).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.68 (d, *J* = 7.5 Hz, 1H), 7.56 – 7.48 (m, 3H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.32 (d, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 8.7 Hz, 2H), 6.75 (br s, 1H), 3.82 (s, 1H).

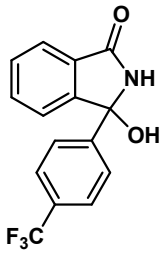
^{13}C NMR (151 MHz, DMSO) δ 168.7, 162.2 (d, *J* = 244.0 Hz), 151.1, 138.8 (d, *J* = 2.9 Hz), 132.9, 131.0, 129.5, 128.1 (d, *J* = 8.4 Hz), 123.1 (d, *J* = 19.1 Hz), 115.4 (d, *J* = 21.5 Hz), 87.4.

m.p. 174.0–175.0 °C

IR (KBr): *v* = 3292, 1709, 1667, 1511, 1224, 1061, 934, 576 cm^{-1}.

HRMS (ESI): found 244.0768; $C_{14}H_{10}FNO_2$ $[M+H]^+$ requires 244.0780.

2.8 3-hydroxy-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one (34)



n-Buthyl lithium (1.6 M solution in hexane) (2.7 mL, 4.3 mmol) was dissolved in dry THF (5 mL) at –78 °C. 4-Bromobenzotrifluoride (580 µL, 4.2 mmol) was added, and the resulting mixture stirred for 2 hours at –78 °C. Phthalimide (200 mg, 1.4 mmol) was added in one portion. The reaction mixture was allowed to warm to 0 °C, and stirred for 2 hours. The reaction was quenched with sat. NH_4Cl, and extracted with ethyl acetate. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated *in vacuo*. Pure product was obtained by flash column chromatography in petrol-ethyl acetate 1:1 as a white solid. Yield: 171 mg (42 %).

^{1}H NMR (300 MHz, DMSO) δ 9.36 (s, 1H), 7.77 – 7.63 (m, 5H), 7.60 – 7.47 (m, 2H), 7.32 (d, *J* = 6.8 Hz, 1H), 7.15 (s, 1H).

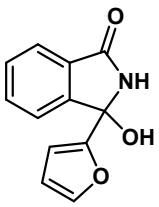
^{13}C NMR (75 MHz, DMSO) δ 168.8, 150.6, 147.3, 133.1, 131.1, 129.7, 129.1, 128.7, 126.9, 125.7 (q, *J* = 3.8 Hz), 123.2 (d, *J* = 5.9 Hz), 87.4.

m.p. 218.5–220 °C

IR (KBr): *v* = 3319, 1707, 1667, 1614, 1327, 1168, 1122, 1066, 930, 851, 698, 602 cm^{-1}.

HRMS (ESI): found 294.0739; $C_{15}H_{11}F_3NO_2$ $[M+H]^+$ requires 294.0742.

2.9 3-(furan-2-yl)-3-hydroxyisoindolin-1-one (35)



Furan (742 µL, 10.2 mmol) was dissolved in dry THF (5 mL) at room temperature. *n*-Buthyl lithium (1.6 M solution in hexane) (7.5 mL, 11.9 mmol) was added, and the resulting mixture stirred for 4 hours at room temperature. The solution was cooled to –78 °C, and phthalimide (500 mg, 3.4 mmol) was added in one portion. The reaction mixture was allowed to warm to room temperature, and stirred overnight. The reaction was quenched with sat. NH_4Cl, and extracted with ethyl acetate. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated *in vacuo*. Pure product was obtained by flash column chromatography in petrol-ethyl acetate 1:1 as a brown solid. Yield: 541 mg (74 %).

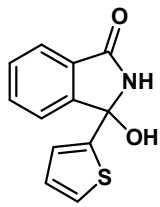
Data in accordance with literature.[5]

^{1}H NMR (300 MHz, DMSO) δ 9.34 (s, 1H), 7.67 – 7.56 (m, 3H), 7.52 (t, *J* = 6.5 Hz, 2H), 7.03 (s, 1H), 6.49 (dd, *J* = 3.2, 0.8 Hz, 1H), 6.41 (dd, *J* = 3.2, 1.8 Hz, 1H).

^{13}C NMR (75 MHz, DMSO) δ 168.5, 154.2, 148.6, 143.4, 132.8, 131.2, 129.9, 123.4, 123.1, 110.8, 107.3, 84.3.

ESI–MS: 238 [M+Na$^+$]

2.10 3-hydroxy-3-(thiophen-2-yl)isoindolin-1-one (36)



Phthalimide (500 mg, 3.4 mmol) was dissolved in dry THF (5 mL) at –78 °C. 2-thienyllithium (1 M solution in hexane) (3.1 mL, 10.5 mmol) was added dropwise. Reaction mixture was allowed to warm to room temperature, and stirred overnight. The reaction was quenched with sat. NH_4Cl, and extracted with diethyl ether. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated *in vacuo*. Pure product was obtained by flash column chromatography in petrol-ethyl acetate 2:1 as a white solid. Yield: 251 mg (32 %).

Data in accordance with literature.[5]

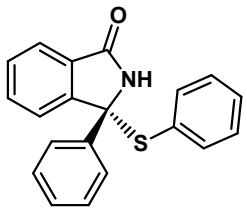
^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56 – 7.49 (m, 3H), 7.45 – 7.34 (m, 2H), 7.25 (dd, *J* = 5.1, 1.2 Hz, 1H), 7.03 (dd, *J* = 3.6, 1.2 Hz, 1H), 6.92 (dd, *J* = 5.0, 3.6 Hz, 1H), 5.09 (s, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.4, 149.1, 144.2, 138.7, 135.4, 134.3, 133.2, 129.7, 129.0, 127.1, 124.8, 86.8.

ESI–MS: 254 [M+Na$^+$]

3. SYNTHESIS AND CHARACTERIZATION DATA OF SULFIDES 1–10

3.1 (*R*)-3-phenyl-3-(phenylthio)isoindolin-1-one (1)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Thiophenol (29 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. Residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **1** as a white solid. Yield: 76 mg (94 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 94:6 e.r. t_{R1} = 11.4 min (major), t_{R2} = 18.5 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.77 (dd, *J* = 13.3, 6.1 Hz, 2H), 7.67 (d, *J* = 7.7 Hz, 1H), 7.55 (td, *J* = 7.7, 1.0 Hz, 1H), 7.47 (d, *J* = 7.5 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.38 – 7.34 (m, 1H), 7.32 (dd, *J* = 10.8, 4.0 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.13 (dd, *J* = 8.0, 1.1 Hz, 2H), 7.07 (t, *J* = 7.7 Hz, 2H), 6.82 (s, 1H).

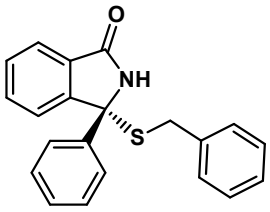
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.8, 148.6, 139.1, 136.9, 132.4, 129.7, 129.6, 129.4, 129.0, 128.8, 128.6, 128.5, 126.0, 123.7, 123.3, 75.6.

m.p. 199.7–200.5 °C

IR (KBr): *v* = 3161, 3065, 2849, 1699, 1607, 1469, 1345, 1316, 1147, 746, 690 cm^{-1}.

HRMS (ESI): found 318.0961; $C_{20}H_{15}NOS$ $[M+H]^+$ requires 318.0947.

3.2 (*R*)-3-(benzylthio)-3-phenylisoindolin-1-one (2)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Benzyl mercaptane (29 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. Residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **2** as a white solid. Yield: 68 mg (92 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 96:4 e.r. t_{R1} = 10.3 min (major), t_{R2} = 18.0 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.4 Hz, 1H), 7.69 (dd, *J* = 8.1, 1.4 Hz, 2H), 7.60 – 7.40 (m, 3H), 7.39 – 7.27 (m, 3H), 7.22 – 7.12 (m, 4H), 7.03 (dd, *J* = 7.1, 2.1 Hz, 2H), 3.54 (d, *J* = 12.6 Hz, 1H), 3.28 (d, *J* = 12.6 Hz, 1H).

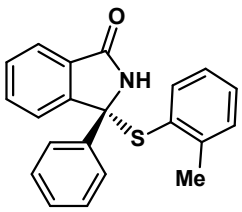
^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 148.7, 139.5, 136.6, 132.9, 130.2, 129.0, 129.0, 128.9, 128.7, 128.5, 127.2, 125.8, 123.8, 123.7, 73.6, 34.7.

m.p. 193.9–194.8 °C

IR (KBr): *v* = 3159, 3057, 2846, 1700, 1489, 1347, 1319, 1087, 758, 696 cm^{-1}.

HRMS (ESI): found 332.1103; $C_{21}H_{17}NOS$ $[M+H]^+$ requires 332.1112.

3.3 (*R*)-3-phenyl-3-(*o*-tolylthio)isoindolin-1-one (3)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 2-methylbenzenethiol (29 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **3** as a white solid. Yield: 63 mg (86 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 95:5 e.r. t_{R1} = 8.6 min (major), t_{R2} = 16.4 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.78 (dd, *J* = 8.1, 1.4 Hz, 2H), 7.66 (d, *J* = 8.3 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.47 – 7.30 (m, 4H), 7.17 – 7.05 (m, 2H), 6.99 (d, *J* = 7.4 Hz, 1H), 6.86 (dd, *J* = 10.4, 4.1 Hz, 1H), 6.63 (br s, 1H), 2.35 (s, 3H).

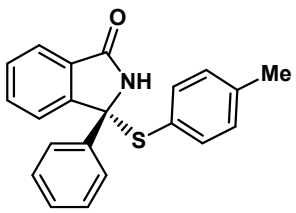
^{13}C NMR (75 MHz, $CDCl_3$) δ 168.6, 148.6, 143.5, 139.4, 137.6, 132.3, 130.6, 129.9, 129.6, 129.0, 128.8, 128.7, 126.0, 125.9, 123.7, 123.3, 21.2.

m.p. 195.9–196.1 °C

IR (KBr): *v* = 3187, 3063, 2849, 1700, 1468, 1344, 1316, 749, 696 cm^{-1}.

HRMS (ESI): found 348.1053; $C_{21}H_{17}NOS$ $[M+H]^+$ requires 348.1052.

3.4 (*R*)-3-phenyl-3-(*p*-tolylthio)isoindolin-1-one (4)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 4-methylbenzenethiol (30 mg, 0.244 mmol) was added, and the reaction mixture was stirred for 48 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **4** as a white solid. Yield: 63 mg (87 %), 94:6 e.r.

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 94:6 e.r. t_{R1} = 9.9 min (major), t_{R2} = 15.7 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.77 (dd, *J* = 8.2, 1.4 Hz, 2H), 7.67 (d, *J* = 7.7 Hz, 1H), 7.59 – 7.46 (m, 2H), 7.45 – 7.28 (m, 4H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.93 (br s, 1H), 6.87 (d, *J* = 7.9 Hz, 2H), 2.22 (s, 3H).

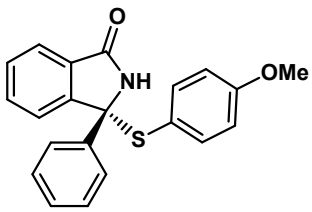
^{13}C NMR (151 MHz, $CDCl_3$) δ 169.0, 148.7, 140.0, 139.2, 136.9, 132.4, 129.8, 129.4, 129.0, 128.8, 128.6, 126.0, 125.8, 123.7, 123.3, 75.5, 21.3.

m.p. 234 °C decomp.

IR (KBr): *v* = 3234, 3019, 2915, 1700, 1676, 1466, 1315, 1089, 744, 696 cm^{-1}.

HRMS (ESI): found 332.1103; $C_{21}H_{17}NOS$ $[M+H]^+$ requires 332.1096.

3.5 (*R*)-3-((4-methoxyphenyl)thio)-3-phenylisoindolin-1-one (5)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 4-methoxythiophenol (30 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **5** as a white solid. Yield: 74 mg (97 %).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.77 (d, *J* = 7.4 Hz, 2H), 7.67 (d, *J* = 7.7 Hz, 1H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.49 (d, *J* = 7.5 Hz, 1H), 7.44 – 7.38 (m, 2H), 7.34 (dt, *J* = 19.8, 7.4 Hz, 2H), 7.03 (d, *J* = 8.7 Hz, 2H), 6.81 (br s, 1H), 6.59 (d, *J* = 8.7 Hz, 2H), 3.70 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 168.9, 160.9, 148.7, 139.1, 138.6, 132.4, 129.8, 129.0, 128.8, 128.6, 126.0, 123.6, 123.3, 120.1, 114.1, 75.6, 55.2.

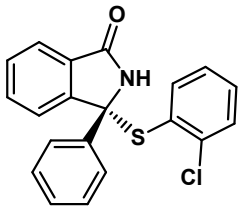
Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 92.5:7.5 e.r. t_{R1} = 9.6 min (major), t_{R2} = 14.3 min (minor).

m.p. 272 °C decomp.

IR (KBr): *v* = 3196, 3076, 2838, 1702, 1589, 1242, 1182, 1026, 750, 700 cm^{-1}.

HRMS (ESI): found 348.1053; $C_{21}H_{17}NO_2S$ $[M+H]^+$ requires 348.1052.

3.6 (*R*)-3-((2-chlorophenyl)thio)-3-phenylisoindolin-1-one (6)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 2-chlorothiophenol (28 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **6** as a white solid. Yield: 76 mg (98 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 20 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 87.5:12.5 e.r. t_{R1} = 9.2 min (major), t_{R2} = 22.3 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.7 Hz, 2H), 7.74 (d, *J* = 7.7 Hz, 1H), 7.56 (t, *J* = 7.6 Hz, 1H), 7.48 (t, *J* = 9.9 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.38 (t, *J* = 7.3 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.16 (td, *J* = 7.8, 1.4 Hz, 1H), 6.97 (dd, *J* = 7.9, 1.3 Hz, 2H), 6.89 – 6.84 (m, 1H).

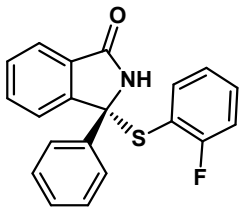
^{13}C NMR (75 MHz, $CDCl_3$) δ 168.4, 148.1, 140.7, 138.8, 138.5, 132.4, 131.2, 130.1, 129.7, 129.1, 129.0, 128.8, 128.8, 126.6, 125.9, 124.1, 123.1, 76.8.

m.p. 193.3–194.1 °C

IR (KBr): *ν* = 3128, 3066, 2852, 1706, 1449, 1313, 1096, 1034, 743, 697 cm^{-1}.

HRMS (ESI): found 352.0557; $C_{20}H_{14}ClNOS$ $[M+H]^+$ requires 352.0567.

3.7 (*R*)-3-((2-fluorophenyl)thio)-3-phenylisoindolin-1-one (7)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 2-fluorothiophenol (28 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **7** as a white solid. Yield: 70 mg (95 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 20 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 89.5:10.5 e.r. t_{R1} = 14.1 min (major), t_{R2} = 24.8 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.83 – 7.75 (m, 2H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.59 – 7.50 (m, 1H), 7.49 – 7.28 (m, 4H), 7.25 – 7.18 (m, 1H), 7.01 (td, *J* = 7.5, 1.8 Hz, 1H), 6.97 – 6.85 (m, 2H), 6.81 (td, *J* = 7.6, 1.2 Hz, 1H).

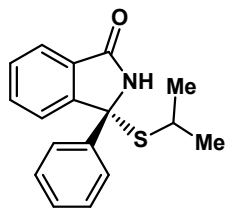
^{13}C NMR (75 MHz, $CDCl_3$) δ 168.5, 165.5, 162.2, 148.2, 139.1, 138.7, 132.6, 132.5, 132.4, 129.6, 129.1, 129.0, 128.7, 125.9, 124.1, 124.1, 123.9, 123.0, 116.6, 116.4, 116.0, 115.7, 76.2.

m.p. 207.0–207.8 °C

IR (KBr): *v* = 3177, 3075, 2851, 1701, 1610, 1473, 1438, 1347, 1312, 1263, 1229, 1147, 756 cm^{-1}.

HRMS (ESI): found 336.0853; $C_{20}H_{14}FNOS$ $[M+H]^+$ requires 336.0866.

3.8 (*R*)-3-(isopropylthio)-3-phenylisoindolin-1-one (8)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Isopropyl mercaptane (23 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **8** as a white solid. Yield: 55 mg (88 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 81:19 e.r. t_{R1} = 10.3 min (major), t_{R2} = 18.0 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.84 (d, *J* = 7.5 Hz, 1H), 7.72 – 7.53 (m, 4H), 7.47 (td, *J* = 7.4, 0.9 Hz, 1H), 7.40 – 7.29 (m, 3H), 7.09 (s, 1H, H8), 2.50 (dt, *J* = 13.7, 6.9 Hz, 1H, H14), 1.21 (d, *J* = 6.9 Hz, 3H, H15), 0.91 (d, *J* = 6.8 Hz, 3H, H15').

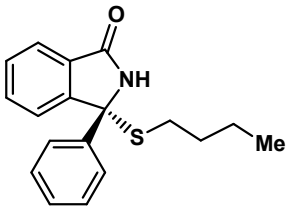
^{13}C NMR (75 MHz, $CDCl_3$) δ 169.0 (C7), 149.5 (C10), 140.2 (C1), 134.2, 132.8, 130.0 (C6), 128.9, 125.6, 124.0, 123.8, 123.6, 73.3 (C9), 35.0 (C14), 25.1 (C15), 24.4 (C15').

m.p. 161.5–162.5 °C

IR (KBr): *v* = 3153, 3065, 2921, 1698, 1465, 1341, 1312, 143, 1086, 755, 701 cm^{-1}.

HRMS (ESI): found 284.1104; $C_{17}H_{17}NOS$ $[M+H]^+$ requires 284.1098.

3.9 (*R*)-3-(butylthio)-3-phenylisoindolin-1-one (9)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 1-butanethiol (26 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. Residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **9** as a white solid. Yield: 55 mg (84 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 92:8 e.r. t_{R1} = 11.4 min (major), t_{R2} = 18.5 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.5 Hz, 1H), 7.69 (d, *J* = 7.1 Hz, 2H), 7.63 – 7.53 (m, 2H), 7.49 – 7.42 (m, 1H), 7.39 – 7.29 (m, 3H), 7.16 (s, 1H), 2.37 – 2.21 (m, 1H), 2.07 – 1.95 (m, 1H), 1.44 – 1.14 (m, 4H), 0.76 (t, *J* = 7.1 Hz, 3H).

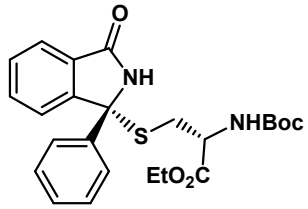
^{13}C NMR (151 MHz, $CDCl_3$) δ 169.3, 149.3, 139.9, 134.2, 132.9, 130.2, 128.9, 128.8, 128.6, 125.7, 123.7, 73.0, 30.6, 29.2, 22.0, 13.5.

m.p. 91.8–92.9 °C

IR (KBr): *v* = 3188, 3064, 2956, 2930, 2871, 1706, 1607, 1469, 1313, 757, 700 cm^{-1}.

HRMS (ESI): found 298.1260; $C_{18}H_{19}NOS$ $[M+H]^+$ requires 298.1251.

3.10 (*R*)-methyl-2-((*tert*-butoxycarbonyl)amino)-3-(((*R*)-3-oxo-1-phenylisoindolin-1-yl)thio)propanoate (10)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Boc-Cys-OMe (57 mg, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in ethyl acetate–petrol 2:1 to afford product **10** as a colorless oil. Yield: 91 mg (93 %).

Enantiomeric ratio determined by HPLC (Chiralpack OD, 5 % EtOH in hexane, flow rate 1.0 mL/min, 220 nm). 23.5:76.5 e.r. t_{R1} = 11.2 min (minor), t_{R2} = 14.1 min (major).

Compound isolated as a mixture of two inseparable diastereomers.

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.95 (br s, 1H), 7.84 (dd, *J* = 7.5, 3.5 Hz, 1H), 7.63 (dtd, *J* = 14.0, 7.8, 5.3 Hz, 4H), 7.52 – 7.41 (m, 1H), 7.39 – 7.27 (m, 3H), 5.24 (dd, *J* = 20.1, 7.8 Hz, 1H), 4.20 – 4.05 (m, 2H), 2.73 – 2.60 (m, 1H), 2.42 (dd, *J* = 13.6, 6.7 Hz, 1H), 1.43 (d, *J* = 9.3 Hz, 9H), 1.22 (dd, *J* = 13.2, 7.1 Hz, 3H).

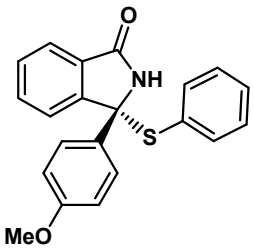
^{13}C NMR (151 MHz, $CDCl_3$) δ 170.8, 170.6, 169.0, 168.9, 155.4, 155.1, 148.5, 148.4, 139.5, 139.4, 133.1, 132.8, 130.5, 130.2, 129.2, 129.1, 129.0, 128.9, 128.8, 128.7, 126.0, 125.8, 123.9, 123.8, 123.7, 123.6, 80.4, 80.3, 73.3, 72.9, 61.9, 53.2, 52.7, 33.4, 32.5, 28.3, 28.3, 14.1, 14.0.

IR (KBr): *v* = 3288, 3065, 2980, 2934, 1720, 1493, 1369, 1164, 1023, 750, 700 cm^{-1}.

HRMS (ESI): found 479.1624; $C_{24}H_{28}N_2O_5S$ $[M+H]^+$ requires 479.1611.

4. SYNTHESIS AND CHARACTERIZATION DATA OF SULFIDES 11–26

4.1 (*R*)-3-(4-methoxyphenyl)-3-(phenylthio)isoindolin-1-one (11)



3-hydroxy-3-(4-methoxyphenyl)isoindolin-1-one **28** (50 mg, 0.196 mmol) and R–TRIP (15 mg, 0.020 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Thiophenol (26 µL, 0.216 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **11** as a white solid. Yield: 62 mg (91 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 93:7 e.r. t_{R1} = 15.8 min (major), t_{R2} = 22.5 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.74 – 7.66 (m, 2H), 7.61 – 7.46 (m, 2H), 7.31 (dd, *J* = 14.2, 6.6 Hz, 2H), 7.19 (ddd, *J* = 15.8, 7.8, 1.4 Hz, 3H), 7.07 (t, *J* = 7.5 Hz, 2H), 6.97 – 6.91 (m, 2H), 3.83 (s, 3H).

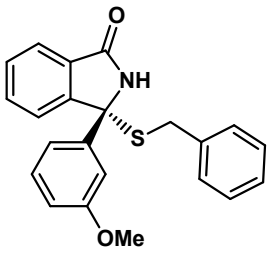
^{13}C NMR (75 MHz, $CDCl_3$)* δ 169.0, 159.9, 148.8, 136.9, 132.3, 131.1, 129.9, 129.7, 129.6, 128.5, 127.4, 123.7, 123.2, 114.3, 75.4, 55.4.

m.p. 177.6 °C decomp.

IR (KBr): *v* = 3187, 3063, 2837, 1706, 1608, 1511, 1254, 1177, 1034, 745, 695 cm^{-1}.

HRMS (ESI): found 348.1053; $C_{21}H_{17}NO_2S$ $[M+H]^+$ requires 348.1058.

4.2 (*R*)-3-(benzylthio)-3-(3-methoxyphenyl)isoindolin-1-one (12)



3-hydroxy-3-(3-methoxyphenyl)isoindolin-1-one **29** (50 mg, 0.196mmol) and R–TRIP (15 mg, 0.020 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Benzyl mercaptan (25 µL, 0.216 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **12** as a white solid. Yield: 63 mg (89 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 20 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 95:5 e.r. t_{R1} = 11.2 min (major), t_{R2} = 13.4 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.3 Hz, 1H), 7.63 – 7.43 (m, 3H), 7.32 – 7.17 (m, 6H), 7.06 (dd, *J* = 7.2, 2.2 Hz, 2H), 6.91 – 6.83 (m, 2H), 3.81 (s, 3H), 3.56 (d, *J* = 12.6 Hz, 1H), 3.30 (d, *J* = 12.6 Hz, 1H).

* *One aromatic carbon not visible.*

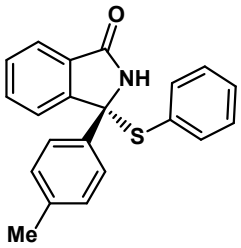
^{13}C NMR (75 MHz, $CDCl_3$) δ 168.9, 160.0, 148.6, 141.1, 136.6, 132.9, 130.1, 129.0, 128.9, 128.5, 127.2, 123.7, 118.0, 114.0, 111.8, 73.4, 55.4, 34.7.

m.p. 134.8–135.7 °C

IR (KBr): *v* = 3193, 3063, 2840, 1700, 1604, 1431, 1291, 1050, 746, 700 cm^{-1}.

HRMS (ESI): found 362.1209; $C_{22}H_{19}NO_2S$ $[M+H]^+$ requires 362.1226.

4.3 (*R*)-3-(phenylthio)-3-(*p*-tolyl)isoindolin-1-one (13)



3-hydroxy-3-(*p*-tolyl)isoindolin-1-one **30** (50 mg, 0.209 mmol) and R–TRIP (16 mg, 0.021 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Thiophenol (27 µL, 0.230 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **13** as a white solid. Yield: 64 mg (93 %), 95:5 e.r.

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 95:5 e.r. t_{R1} = 15.9 min (major), t_{R2} = 26.1 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.70 – 7.63 (m, 3H), 7.58 – 7.50 (m, 1H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.34 – 7.26 (m, 1H), 7.24 – 7.16 (m, 3H), 7.13 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.06 (dd, *J* = 10.1, 4.6 Hz, 3H), 2.36 (s, 3H).

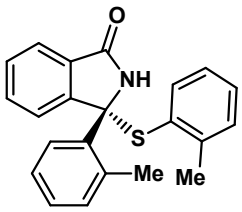
^{13}C NMR (75 MHz, $CDCl_3$)* δ 168.9, 148.8, 138.8, 136.9, 136.2, 132.3, 129.8, 129.7, 129.6, 128.5, 125.9, 123.7, 123.2, 75.6, 21.0.

m.p. 200.2–201.1 °C

IR (KBr): *v* = 3131, 3063, 2849, 1703, 1468, 1316, 1146, 1090, 802, 743, 687 cm^{-1}.

HRMS (ESI): found 332.1103; $C_{21}H_{17}NOS$ $[M+H]^+$ requires 332.1093.

4.4 (*R*)-3-(*o*-tolyl)-3-(*o*-tolylthio)isoindolin-1-one (14)



3-hydroxy-3-(*o*-tolyl)isoindolin-1-one **31** (50 mg, 0.209 mmol) and R–TRIP (15 mg, 0.021 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. *o*-Toluenethiol (26 µL, 0.230 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **14** as a white solid. Yield: 53 mg (73 %).

* *Two quaternary carbons not visible.*

Enantiomeric ratio determined by HPLC (Chiralpack AD, 15 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 61.5:38.5 e.r. t_{R1} = 6.6 min (major), t_{R2} = 13.1 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 8.14 – 8.06 (m, 1H), 7.55 – 7.43 (m, 3H), 7.36 – 7.26 (m, 3H), 7.19 – 7.02 (m, 3H), 6.91 – 6.77 (m, 2H), 6.74 (br s, 1H), 2.28 (s, 3H), 2.11 (s, 3H).

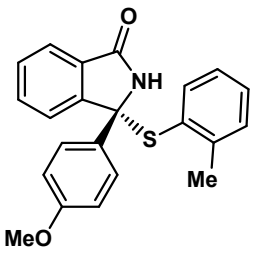
^{13}C NMR (75 MHz, $CDCl_3$) δ 168.6, 148.5, 144.0, 138.3, 136.8, 135.5, 133.2, 132.2, 130.5, 130.4, 129.9, 129.0, 128.5, 128.4, 128.2, 126.2, 125.8, 124.2, 122.9, 76.7, 21.3, 21.1.

m.p. 179.1–180.1 °C

IR (KBr): *v* = 3187, 3063, 2925, 1708, 1605, 1469, 1344, 1320, 1057, 756, 741, 641 cm^{-1}.

HRMS (ESI): found 346.1260; $C_{22}H_{19}NOS$ $[M+H]^+$ requires 346.1265.

4.5 (*R*)-3-(4-methoxyphenyl)-3-(*o*-tolylthio)isoindolin-1-one (15)



3-hydroxy-3-(4-methoxyphenyl)isoindolin-1-one **28** (50 mg, 0.222 mmol) and R–TRIP (16 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. *o*-Toluenethiol (28 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **15** as a yellow solid. Yield: 60 mg (75 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 20 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 89:11 e.r. t_{R1} = 9.9 min (major), t_{R2} = 16.2 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.72 (t, *J* = 9.2 Hz, 2H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.54 (dd, *J* = 14.0, 7.3 Hz, 2H), 7.35 (t, *J* = 7.3 Hz, 1H), 7.17 (br s, 1H), 7.15 – 7.10 (m, 1H), 7.10 – 7.06 (m, 1H), 7.03 (d, *J* = 7.7 Hz, 1H), 6.95 (d, *J* = 8.8 Hz, 2H), 6.85 (dd, *J* = 17.0, 9.5 Hz, 1H), 3.85 (s, 3H), 2.35 (s, 3H).

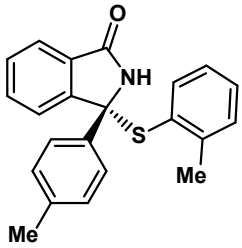
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.8, 159.9, 148.8, 143.4, 137.6, 132.2, 131.3, 130.5, 129.8, 129.7, 129.2, 128.6, 127.4, 125.9, 123.7, 123.2, 114.2, 75.8, 55.4, 21.2.

m.p. 159.8–160.9 °C

IR (KBr): *v* = 3232, 3059, 2916, 1715, 1676, 1608, 1512, 1469, 1302, 1252, 1178, 1032, 745, 712 cm^{-1}.

HRMS (ESI): found 362.1209; $C_{22}H_{19}NO_2S$ $[M+H]^+$ requires 362.1212.

4.6 (*R*)-3-(*p*-tolyl)-3-(*o*-tolylthio)isoindolin-1-one (16)



3-hydroxy-3-(*p*-tolyl)isoindolin-1-one **29** (50 mg, 0.209 mmol) and R–TRIP (16 mg, 0.021 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. *o*-Toluenethiol (26 µL, 0.230 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **16** as a white solid. Yield: 60 mg (83 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 92.5:7.5 e.r. t_{R1} = 14.5 min (major), t_{R2} = 27.1 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.73 – 7.65 (m, 3H), 7.59 – 7.51 (m, 2H), 7.39 – 7.31 (m, 1H), 7.25 (d, *J* = 8.0 Hz, 2H), 7.18 – 7.00 (m, 3H), 6.95 (br s, 1H), 6.91 – 6.83 (m, 1H), 2.39 (s, 3H), 2.37 (s, 3H).

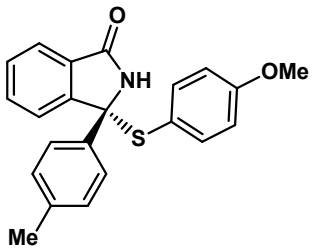
^{13}C NMR (75 MHz, $CDCl_3$)* δ 168.7, 148.8, 143.4, 138.7, 137.6, 136.4, 132.2, 130.5, 129.8, 129.7, 129.6, 129.1, 128.6, 125.9, 123.7, 123.2, 75.8, 21.2, 21.1.

m.p. 248-249.5 °C.

IR (KBr): *v* = 3197, 3061, 2874, 1702, 1606, 1470, 1341, 1314, 1184, 1144, 1092, 810, 748 cm^{-1}.

HRMS (ESI): found 346.1260; $C_{22}H_{19}NOS$ $[M+H]^+$ requires 346.1265.

4.7 (*R*)-3-((4-methoxyphenyl)thio)-3-(*p*-tolyl)isoindolin-1-one (17)



3-hydroxy-3-(*p*-tolyl)isoindolin-1-one **29** (50 mg, 0.209 mmol) and R–TRIP (15 mg, 0.021 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 4-Methoxybenzenethiol (28 µL, 0.230 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **17** as a pale yellow solid. Yield: 63 mg (84 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 96:4 e.r. t_{R1} = 19.3 min (major), t_{R2} = 25.4 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.68 – 7.61 (m, 3H), 7.58 – 7.45 (m, 2H), 7.35 – 7.27 (m, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.06 – 6.98 (m, 2H), 6.74 (br s, 1H), 6.62 – 6.55 (m, 2H), 3.70 (s, 3H), 2.35 (s, 3H).

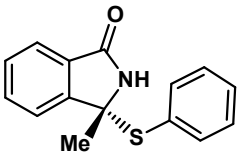
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.9, 160.9, 148.9, 138.7, 138.5, 136.1, 132.3, 129.8, 129.6, 128.5, 125.9, 123.6, 123.2, 120.2, 114.1, 75.5, 55.2, 21.1.

m.p. 208.5–209.5 °C

IR (KBr): ν = 3181, 3058, 2920, 1691, 1592, 1494, 1470, 1251, 1183, 1027, 746 cm^{-1}.

HRMS (ESI): found 362.1209; $C_{22}H_{19}NO_2S$ $[M+H]^+$ requires 362.1196.

4.8 (*R*)-3-methyl-3-(phenylthio)isoindolin-1-one (18)



3-hydroxy-3-methylisoindolin-1-one **31** (50 mg, 0.307 mmol) and R–TRIP (23 mg, 0.031 mmol) were dissolved in dichloromethane (1 mL) at –30 °C. Thiophenol (34 µL, 0.338 mmol) was added, and the reaction mixture was stirred for 48 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **18** as a white solid. Yield: 73 mg (93 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 5 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 71:29 e.r. t_{R1} = 16.5 min (major), t_{R2} = 19.6 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.63 – 7.55 (m, 2H), 7.51 (d, *J* = 7.5 Hz, 1H), 7.34 (t, *J* = 7.3 Hz, 1H), 7.21 (t, *J* = 7.2 Hz, 1H), 7.16 – 7.11 (m, 2H), 7.09 (dd, *J* = 10.6, 4.5 Hz, 2H), 6.73 (br s, 1H), 1.95 (s, 3H).

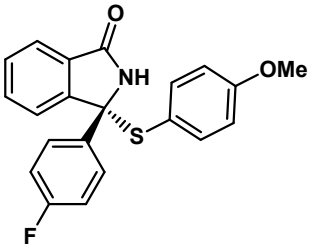
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.5, 149.3, 136.7, 132.3, 130.5, 129.6, 129.5, 128.5, 128.5, 123.2, 122.3, 70.1, 26.4.

IR (KBr): ν = 3185, 3069, 1709, 1695, 1345, 1316, 1065, 746, 693 cm^{-1}.

m.p. 141.2–142.5 °C

HRMS (ESI): found 256.0790; $C_{15}H_{13}NOS$ $[M+H]^+$ requires 256.0790.

4.9 (*R*)-3-(4-fluorophenyl)-3-((4-methoxyphenyl)thio)isoindolin-1-one (19)



3-(4-fluorophenyl)-3-hydroxyisoindolin-1-one **32** (50 mg, 0.205 mmol) and R–TRIP (15 mg, 0.020 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 4-Methoxybenzenethiol (27 µL, 0.226 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **19** as a white solid. Yield: 65 mg (87 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 96.5:3.5 e.r. t_{R1} = 12.7 min (major), t_{R2} = 18.8 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.80 – 7.69 (m, 2H), 7.67 – 7.47 (m, 3H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.23 (br s, 1H), 7.13 – 6.98 (m, 4H), 6.58 (d, *J* = 8.8 Hz, 2H), 3.69 (s, 3H).

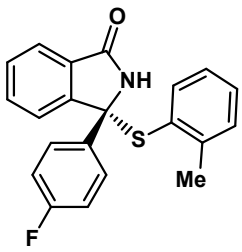
^{13}C NMR (75 MHz, $CDCl_3$)* δ 169.0, 164.4, 161.0, 148.6, 138.5, 135.1, 132.5, 129.8, 128.7, 128.0 (d, *J* = 8.3 Hz), 123.5 (d, *J* = 11.1 Hz), 119.9, 115.8 (d, *J* = 21.6 Hz), 114.1, 75.1, 55.2.

m.p. 175.0–178.5 °C

IR (KBr): *v* = 3181, 3068 , 2844, 1702, 1588, 1510, 1494, 1469, 1251, 1168, 1024, 836, 745 cm^{-1}.

HRMS (ESI): found 366.0958; $C_{21}H_{16}FNO_2S$ $[M+H]^+$ requires 366.0945.

4.10 (*R*)-3-(4-fluorophenyl)-3-(*o*-tolylthio)isoindolin-1-one (20)



3-(4-fluorophenyl)-3-hydroxyisoindolin-1-one **32** (50 mg, 0.205 mmol) and R–TRIP (15 mg, 0.020 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Thiophenol (27 µL, 0.226 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **20** as a white solid. Yield: 67 mg (94 %), 98:2 e.r.

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 98:2 e.r. t_{R1} = 9.3 min (major), t_{R2} = 16.6 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.8, 5.1 Hz, 2H), 7.67 (d, *J* = 7.5 Hz, 1H), 7.62 – 7.52 (m, 2H), 7.40 (dd, *J* = 15.1, 7.6 Hz, 2H), 7.21 – 6.98 (m, 5H), 6.87 (t, *J* = 7.2 Hz, 1H), 2.36 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.8, 162.8 (d, *J* = 248.7 Hz), 148.5, 143.5, 137.6, 135.3, 134.3, 132.3, 130.6, 129.9, 129.7, 128.9, 128.8, 128.0 (d, *J* = 8.2 Hz), 125.9, 123.5 (d, *J* = 38.3 Hz), 115.8 (d, *J* = 21.7 Hz), 75.4, 21.2.

m.p. 174.0–175.0 °C

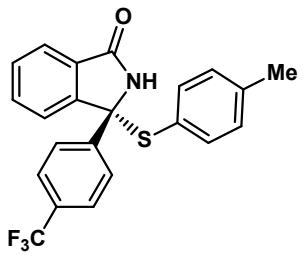
IR (KBr): *v* = 3292, 1709, 1667, 1511, 1224, 1061, 934, 576 cm^{-1}.

m.p. 188.0–188.3 °C

HRMS (ESI): found 350.1009; $C_{21}H_{16}FNOS$ $[M+H]^+$ requires 350.0998.

* *One aromatic carbon not visible.*

4.11 (*R*)-3-(*p*-tolylthio)-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one (21)



3-hydroxy-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one **33** (50 mg, 0.170 mmol) and R–TRIP (13 mg, 0.017 mmol) were dissolved in dichloromethane (1 mL) at room temperature. 4-Methylbenzenethiol (23 µL, 0.187 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **21** as a white solid. Yield: 64 mg (95 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % EtOH in hexane, flow rate 1.0 mL/min, 220 nm). 96.5:3.5 e.r. t_{R1} = 12.1 min (major), t_{R2} = 15.9 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.92 (d, *J* = 8.2 Hz, 2H), 7.66 (t, *J* = 7.7 Hz, 3H), 7.60 – 7.56 (m, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.36 (dd, *J* = 11.2, 3.9 Hz, 1H), 7.30 (s, 1H), 7.02 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 7.8 Hz, 2H), 2.22 (s, 3H).

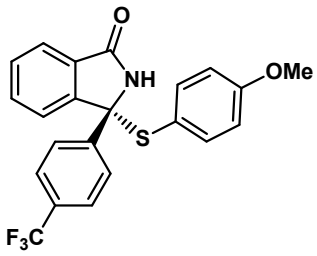
^{13}C NMR (151 MHz, $CDCl_3$) δ 169.1, 148.1, 143.3, 140.6, 136.9, 132.6, 131.0, 129.8, 129.5, 128.9, 126.6, 126.0, 125.9, 125.3, 123.6, 123.5, 74.9, 21.2.

m.p. 179.2–180.5 °C

IR (KBr): *v* = 3202, 3078, 2865, 1698, 1327, 1170, 1127, 1069, 1017, 810, 753 cm^{-1}.

HRMS (ESI): found 400.0989; $C_{22}H_{17}F_3NOS$ $[M+H]^+$ requires 400.0983.

4.12 (*R*)-3-((4-methoxyphenyl)thio)-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one (22)



3-hydroxy-3-(4-(trifluoromethyl)phenyl)isoindolin-1-one **33** (50 mg, 0.170 mmol) and R–TRIP (13 mg, 0.017 mmol) were dissolved in dichloromethane (1 mL) at room temperature. 4-Methoxybenzenethiol (22 µL, 0.187 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **22** as a white solid. Yield: 59 mg (84 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 5 % EtOH in hexane, flow rate 1.0 mL/min, 220 nm). 98:2 e.r. t_{R1} = 18.6 min (major), t_{R2} = 31.9 min (minor).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.91 (t, *J* = 9.7 Hz, 3H), 7.67 (dd, *J* = 7.9, 4.6 Hz, 3H), 7.62 – 7.50 (m, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.05 (d, *J* = 8.8 Hz, 2H), 6.57 (d, *J* = 8.8 Hz, 2H), 3.68 (s, 3H).

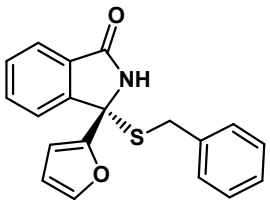
^{13}C NMR (75 MHz, $CDCl_3$) δ 169.4, 161.1, 148.1, 143.2, 138.6, 132.6, 131.1, 130.6, 129.9, 128.9, 126.6, 125.9, 125.9, 125.6, 123.6, 122.0, 119.6, 114.2, 75.2, 55.2.

m.p. 171.5–172.0 °C

IR (KBr): *v* = 3191, 3068, 2926, 2845, 1705, 1592, 1494, 1462, 1409, 1326, 1256, 1176, 1127, 1066, 831, 744 cm^{-1}.

HRMS (ESI): found 416.0927; $C_{22}H_{16}F_3NO_2S$ $[M+H]^+$ requires 416.0928.

4.13 (*R*)-3-(benzylthio)-3-(furan-2-yl)isoindolin-1-one (23)



3-(furan-2-yl)-3-hydroxyisoindolin-1-one **34** (50 mg, 0.232 mmol) and R–TRIP (17 mg, 0.023 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Benzyl mercaptan (30 µL, 0.255 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **23** as an orange solid. Yield: 60 mg (80 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 97:3 e.r. t_{R1} = 16.9 min (major), t_{R2} = 25.7 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 (d, *J* = 7.5 Hz, 1H), 7.74 (d, *J* = 7.7 Hz, 1H), 7.58 (td, *J* = 7.6, 1.0 Hz, 1H), 7.49 (td, *J* = 7.6, 0.8 Hz, 1H), 7.44 – 7.41 (m, 1H), 7.34 (br s, 1H), 7.21 – 7.14 (m, 3H), 7.09 – 7.04 (m, 2H), 6.39 (dd, *J* = 3.2, 0.6 Hz, 1H), 6.32 (dd, *J* = 3.3, 1.8 Hz, 1H), 3.57 (d, *J* = 12.8 Hz, 1H), 3.37 (d, *J* = 12.8 Hz, 1H).

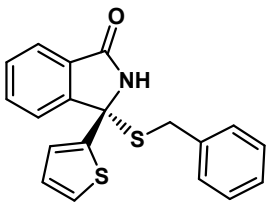
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.9, 150.8, 146.2, 143.5, 136.5, 132.9, 130.4, 129.4, 128.8, 128.5, 127.2, 123.9, 123.9, 110.6, 107.9, 68.7, 34.6.

m.p. 142.3 °C decomp.

IR (KBr): *v* = 3148, 3082, 2930, 1711, 1673, 1469, 1317, 1090, 742, 693 cm^{-1}.

HRMS (ESI): found 322.0896; $C_{19}H_{15}NO_2S$ $[M+H]^+$ requires 322.0903.

4.14 (*R*)-3-(benzylthio)-3-(thiophen-2-yl)isoindolin-1-one (24)



3-(furan-2-yl)-3-hydroxyisoindolin-1-one **35** (50 mg, 0.148 mmol) and R–TRIP (11 mg, 0.015 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. Benzyl mercaptan (19 µL, 0.163 mmol) was added, and the reaction mixture was stirred for 24 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **24** as an orange solid. Yield: 45 mg (91 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 20 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 95:5 e.r. t_{R1} = 12.1 min (major), t_{R2} = 15.9 min (minor).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.6 Hz, 1H), 7.64 (d, *J* = 7.7 Hz, 1H), 7.59 – 7.54 (m, 1H), 7.48 (dd, *J* = 10.8, 4.0 Hz, 1H), 7.29 – 7.25 (m, 1H), 7.24 – 7.17 (m, 4H), 7.09 – 7.03 (m, 2H), 6.97 (dd, *J* = 5.0, 3.7 Hz, 1H), 6.91 (br s, 1H), 3.58 (d, *J* = 12.7 Hz, 1H), 3.38 (d, *J* = 12.7 Hz, 1H).

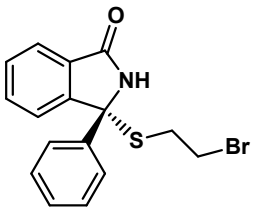
^{13}C NMR (151 MHz, $CDCl_3$) δ 168.7, 148.3, 143.8, 136.3, 133.0, 129.8, 129.3, 128.9, 128.6, 127.4, 127.3, 126.3, 125.3, 123.9, 123.6, 70.7, 35.4.

m.p. 168.0–168.7 °C

IR (KBr): *ν* = 3148, 3068, 1701, 1610, 1467, 1342, 1314, 1234, 1146, 1069, 756, 702 cm^{-1}.

HRMS (ESI): found 338.0669; $C_{19}H_{16}NOS_2$ $[M+H]^+$ requires 338.0673.

4.15 (*R*)-3-((2-bromoethyl)thio)-3-phenylisoindolin-1-one (25)



3-hydroxy-3-phenylisoindolin-1-one **27** (50 mg, 0.222 mmol) and R–TRIP (16.5 mg, 0.022 mmol) were dissolved in dichloromethane (1 mL) at –10 °C. 2-mercaptoethanol (17 µL, 0.244 mmol) was added, and the reaction mixture was stirred for 16 h. The reaction was quenched with sat. $NaHCO_3$, and extracted with dichloromethane. Organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated in vacuo. Crude residue was dissolved in dichloromethane, CBr_4 (293 mg, 0.888 mmol) was added, and the resulting mixture was stirred at room temperature for 10 min. PPh_3 (232 mg, 0.888 mmol) was added, and the reaction mixture was stirred for further 2 h. Solvent was evaporated, and the residue purified by column chromatography on silica impregnated with potassium carbonate in petrol–ethyl acetate 2:1 to afford product **25** as a colorless oil. Yield: 51 mg (67 %).

Enantiomeric ratio determined by HPLC (Chiralpack AD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 95:5 e.r. t_{R1} = 17.1 min (major), t_{R2} = 31.1 min (minor).

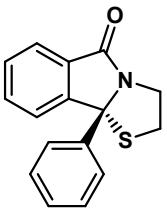
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.6 Hz, 1H), 7.69 – 7.65 (m, 2H), 7.65 – 7.59 (m, 2H), 7.53 – 7.48 (m, 1H), 7.40 – 7.35 (m, 3H), 7.35 – 7.31 (m, 1H), 3.26 – 3.19 (m, 1H), 3.10 – 3.04 (m, 1H), 2.73 – 2.66 (m, 1H), 2.66 – 2.59 (m, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.1, 148.8, 139.2, 133.2, 130.0, 129.4, 129.1, 129.0, 125.7, 124.0, 123.8, 73.3, 32.2, 30.1.

IR (KBr): *ν* = 3422, 3202, 3062, 1670, 1607, 1463, 1444, 1343, 1308, 1254, 1188, 745, 703 cm^{-1}.

HRMS (ESI): found 348.0055; $C_{16}H_{14}BrNOS$ $[M+H]^+$ requires 348.0058.

4.16 (*R*)-9b-phenyl-2,3-dihydrothiazolo[2,3-*a*]isoindol-5(9b*H*)-one (26)



(*R*)-3-((2-bromoethyl)thio)-3-phenylisoindolin-1-one (**25)** (40 mg, 0.115 mmol) was dissolved in DMF (5 mL) and NaH (60 % in mineral oil) (9 mg, 0.231 mmol) was added. The reaction mixture was stirred at room temperature overnight. Water was added, and the product was extracted with diethyl ether. Organic layer was dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by flash column chromatography in petrol-ethyl acetate 3:1 to afford product **26** as a white solid. Yield: 21 mg (73 %).

Enantiomeric ratio determined by HPLC (Chiralpack OD, 10 % IPA in hexane, flow rate 1.0 mL/min, 220 nm). 93:7 e.r. t_{R1} = 9.9 min (major), t_{R2} = 12.0 min (minor).

Data in accordance with literature.[8,9]

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.4 Hz, 1H), 7.64 (d, *J* = 7.4 Hz, 1H), 7.49 (td, *J* = 7.5, 1.0 Hz, 1H), 7.44 (dt, *J* = 7.3, 3.8 Hz, 1H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.31 (dd, *J* = 7.3, 5.4 Hz, 1H), 4.54 – 4.48 (m, 1H), 3.57 – 3.51 (m, 1H), 3.38 – 3.29 (m, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.0, 149.5, 140.5, 132.9, 128.9, 128.9, 128.7, 128.4, 126.0, 124.5, 122.9, 82.0, 43.0, 38.1.

m.p. 108.5–109.5 °C

IR (KBr): *v* = 3452, 2923, 2851, 1711, 1607, 1463, 1444, 1347, 1328, 1269, 1094, 745, 699 cm^{-1}.

ESI–MS: 268 [$M+H^+$]

5. 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 F^2 using SHELXL.[10] 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,[11] PLATON[12] and Mercury®.

Absolute configuration of the product **20** was determined by solving its crystal structure.* Absolute configuration of other products was assigned by analogy. Colourless crystals of **20** suitable for crystallographic analysis were obtained by diffusion method from ethyl acetate/pentane. ORTEP drawing of **20** is shown in Figure S1. The crystal structure has been deposited at the Cambridge Crystallographic Centre (deposition number: CDCC 1432692). The data can be obtained free of charge at www.ccdc.cam.ac.uk/getstructures.

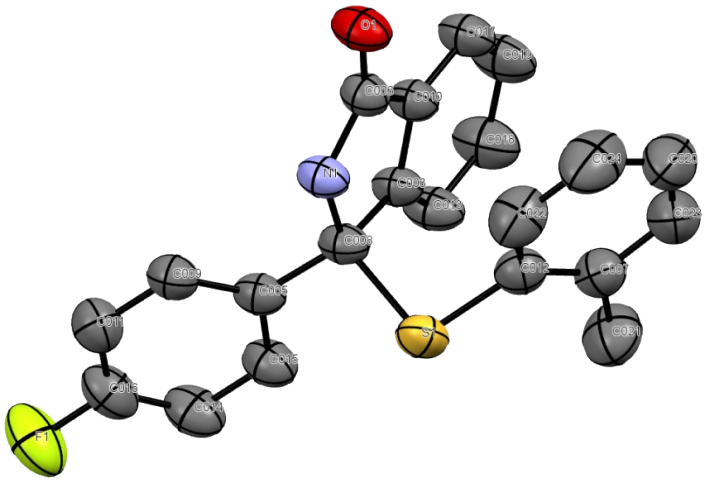


Figure S1. ORTEP illustration of **20** with thermal ellipsoids drawn at 50% probability level. Hydrogen atoms are omitted for clarity.

* *Pure enantiomer of **20** for crystal growth was obtained by separating major enantiomer from the product **20** (98:2 e.r.) on preparative Chiralpack AD column, 10 % IPA in hexane, 4 mL/min, 220 nm.*

6. REFERENCES

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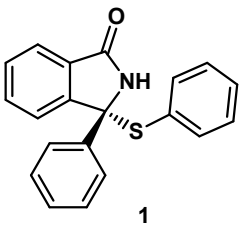
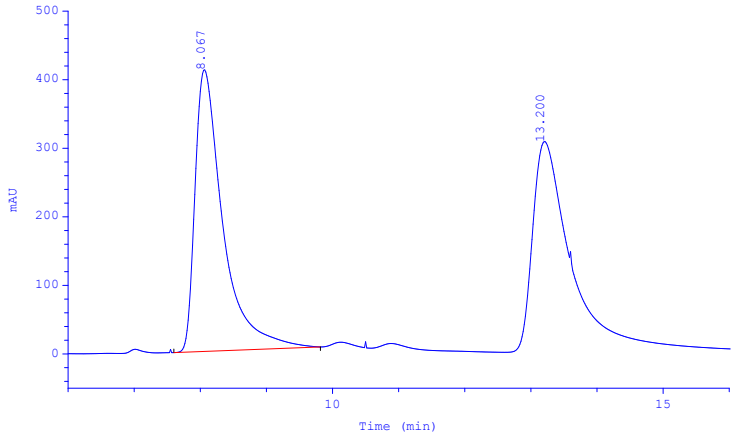
7. HPLC TRACES



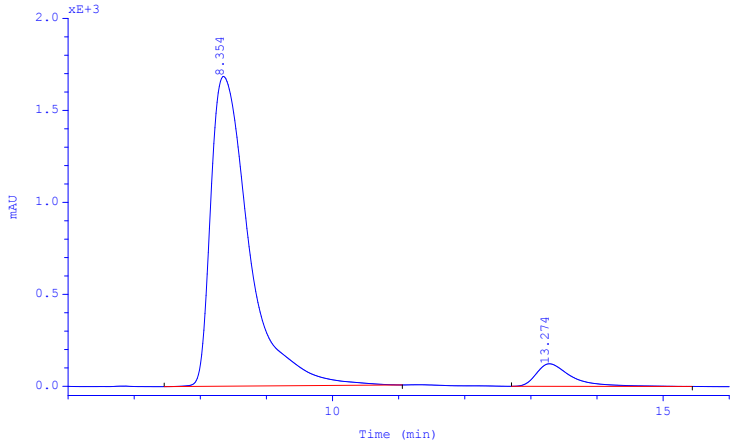
1

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

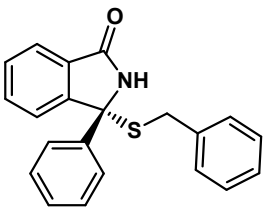
Retention times: t_1 = 8.0 min; t_2 = 13.2 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	8,06667	7,57468	9,8005	410,67	201,011	49,093
2	13,2	12,6539	16,3054	306,636	208,438	50,907



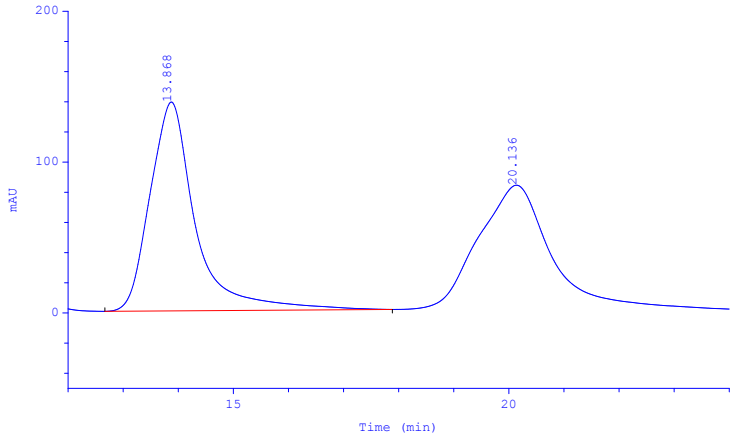
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	8,35443	7,45395	11,0559	1684,44	1135,16	93,8169
2	13,2737	12,7067	15,4415	122,488	74,8139	6,18309



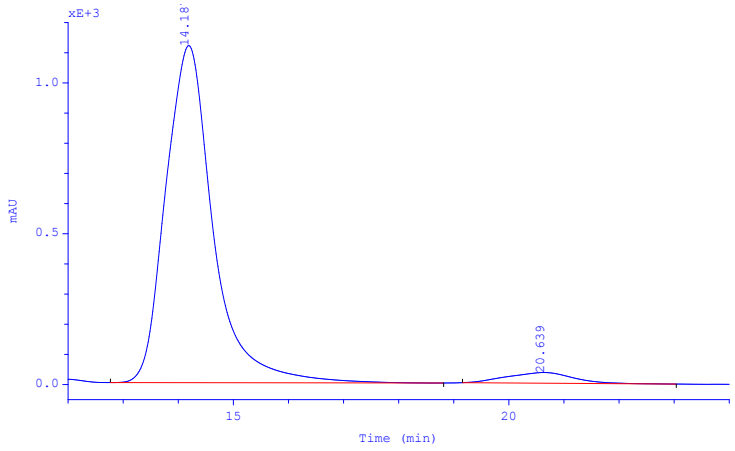
2

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

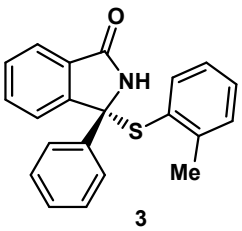
Retention times: t_1 = 13.8 min; t_2 = 20.1 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	13,8684	12,6683	17,8856	138,465	132,643	50,0401
2	20,1359	17,8856	26,3367	82,9061	132,43	49,9599



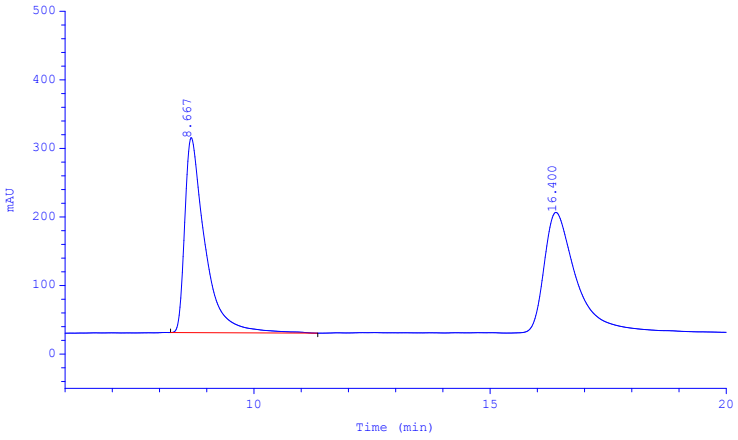
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,187	12,7683	18,8159	1117,51	1114,99	95,8267
2	20,6386	19,1588	23,0389	35,4452	48,5588	4,17334



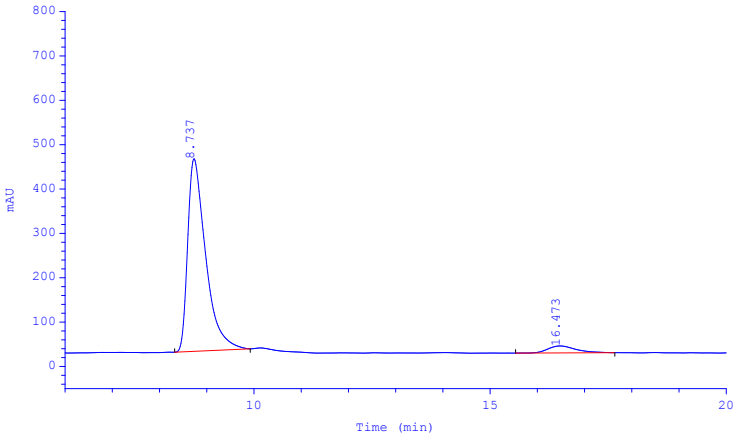
3

Column: Chiralpack AD, 20 % IPA in hexane, 1 mL/min, 220 nm

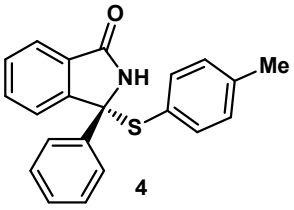
Retention times: t_1 = 8.6 min; t_2 = 16.4 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	8,66667	8,23333	11,35	284,424	141,914	50,0915
2	16,4	15,3333	20,4833	175,774	141,396	49,9085



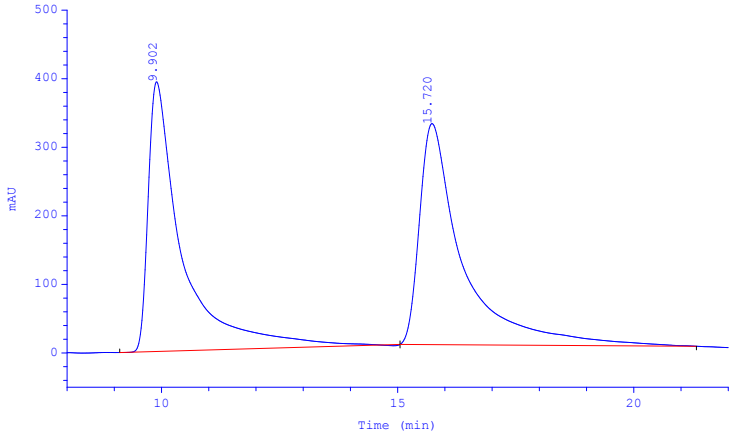
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	8,73679	8,31996	9,92059	433,881	191,271	94,8849
2	16,4732	15,5395	17,6403	15,6553	10,7368	5,11507



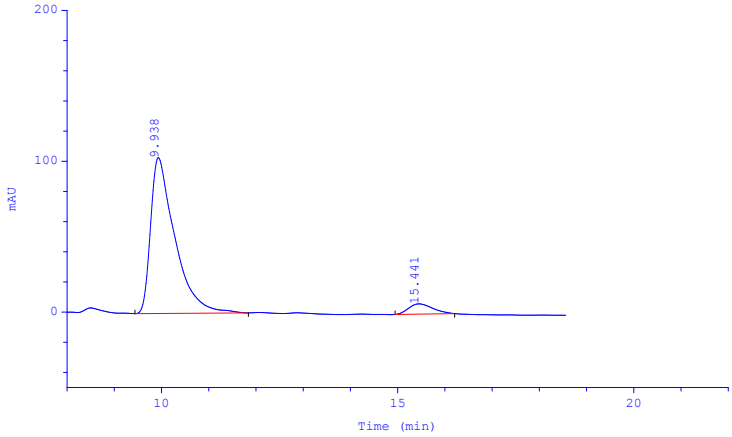
4

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

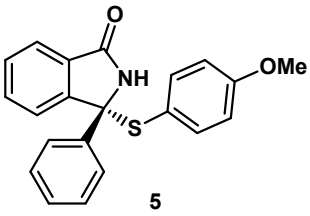
Retention times: t_1 = 9.9 min; t_2 = 15.7 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,9021	9,11463	15,0501	393,138	327,577	48,888
2	15,72	15,0501	21,3264	322,241	342,479	51,112



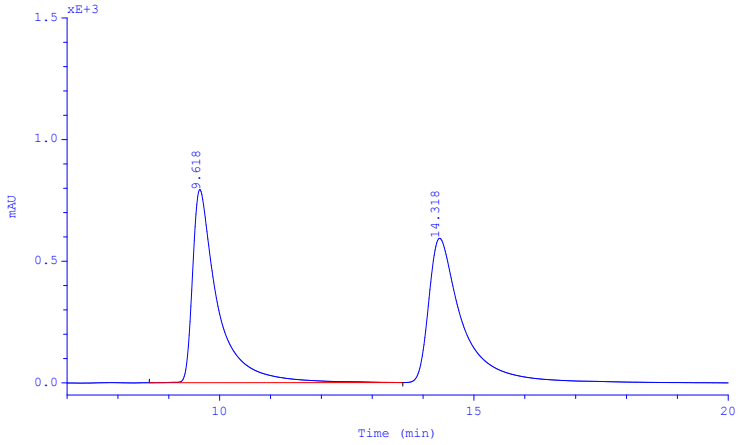
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,93812	9,43788	11,839	103,382	61,343	93,8671
2	15,4408	14,9464	16,2068	6,81801	4,00791	6,1329



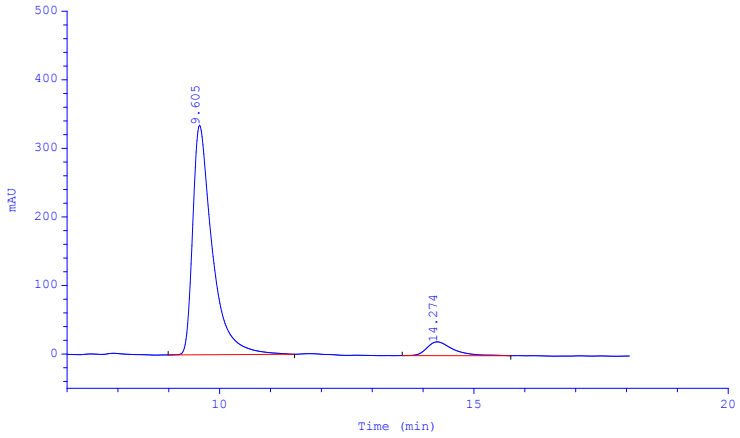
5

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

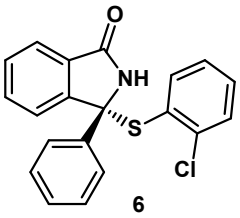
Retention times: t_1 = 9.6 min; t_2 = 14.3 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,6177	8,6176	13,6015	793,668	456,447	49,7461
2	14,3182	13,6015	20,3689	593,137	461,107	50,2539



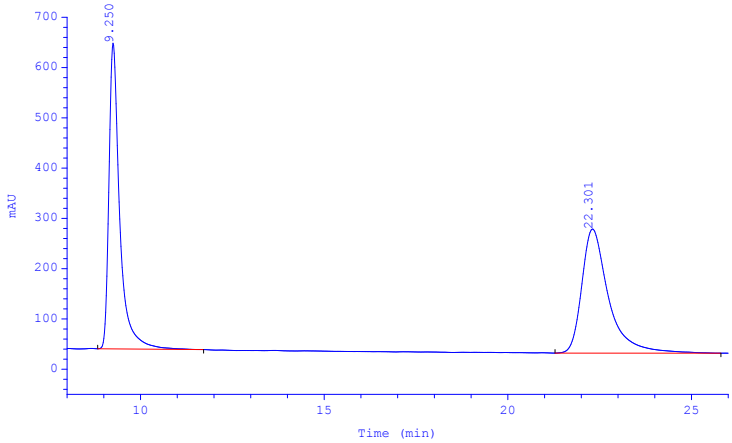
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,60486	8,98788	11,4725	334,949	149,089	92,5713
2	14,2739	13,5902	15,7246	20,0711	11,9641	7,42868



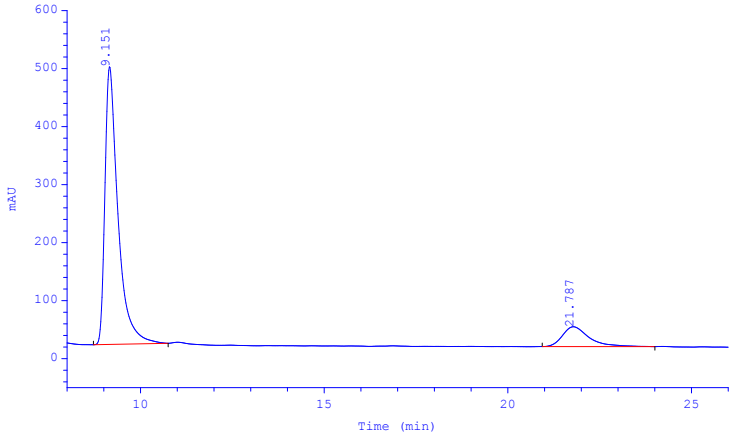
6

Column: Chiralpack AD, 20 % IPA in hexane, 1 mL/min, 220 nm

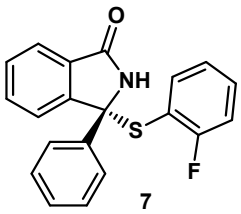
Retention times: t_1 = 9.2 min; t_2 = 22.3 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,25033	8,83365	11,7171	608,083	207,207	49,7986
2	22,3008	21,2841	25,8009	246,791	208,883	50,2014



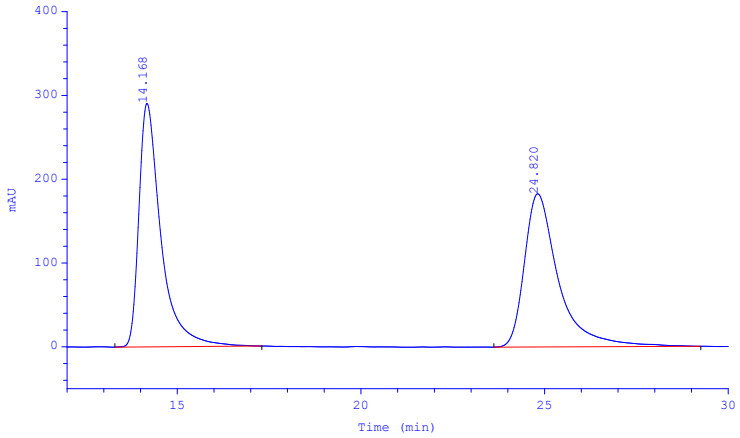
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,15134	8,71795	10,7516	478,576	196,821	87,4976
2	21,7865	20,9364	24,0035	34,1835	28,6388	12,5024



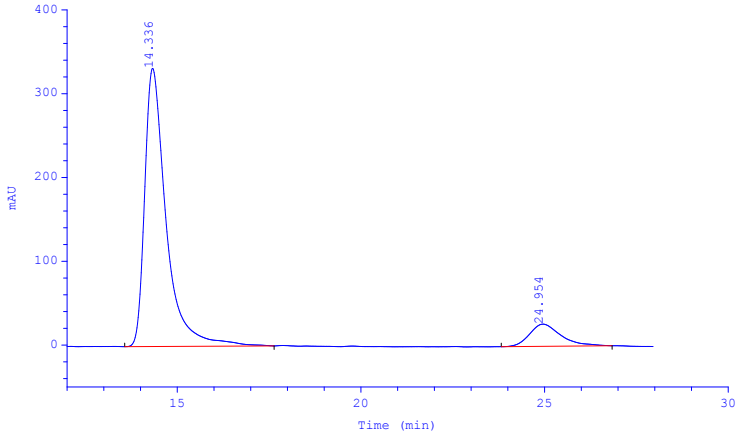
7

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

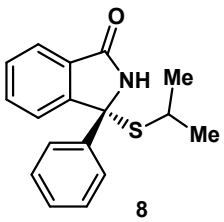
Retention times: t_1 = 14.1 min; t_2 = 24.8 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,1684	13,3017	17,3021	290,609	202,056	50,7348
2	24,8197	23,6196	29,2536	182,798	196,203	49,2652



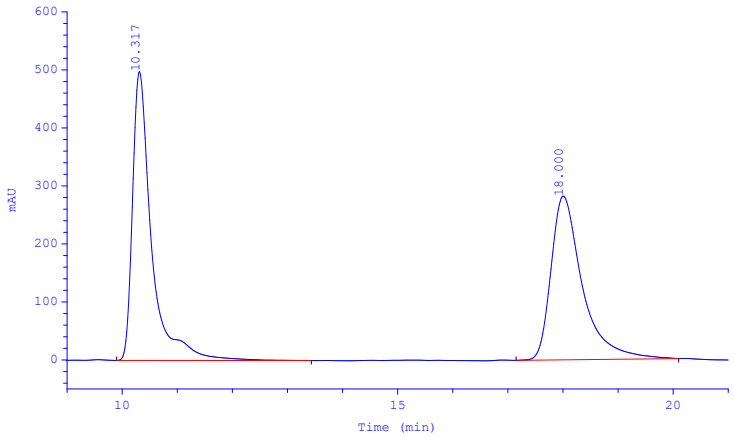
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,3359	13,5691	17,6365	331,892	224,81	89,5728
2	24,9545	23,8209	26,8382	26,4927	26,1701	10,4272



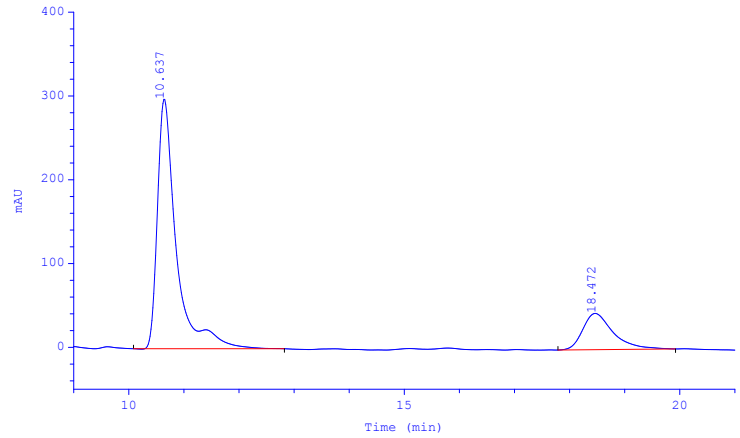
8

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

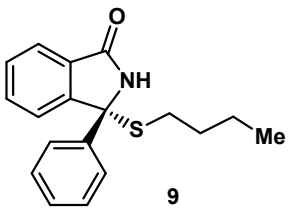
Retention times: t_1 = 10.3 min; t_2 = 18.0 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	10,3167	9,9	13,4333	498,122	199,404	50,7682
2	18	17,15	20,1	282,222	185,782	49,2318



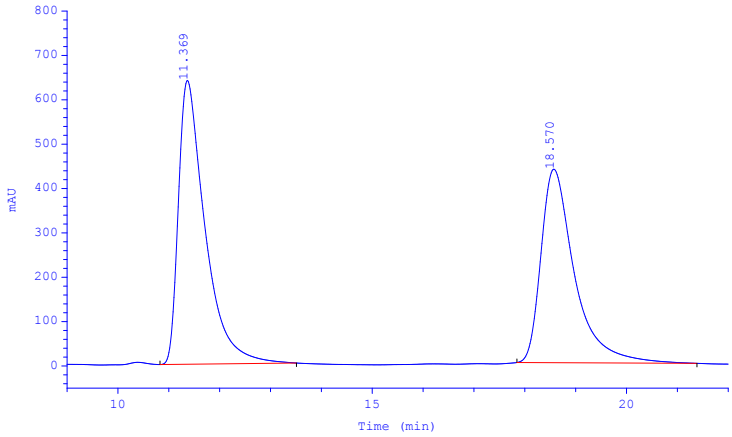
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	10,6366	10,0852	12,8243	297,731	118,215	81,0458
2	18,4723	17,7888	19,9228	43,2585	27,647	18,9542



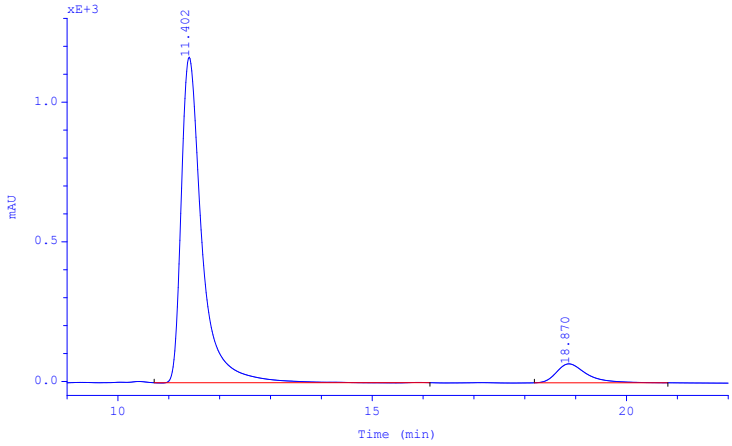
9

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

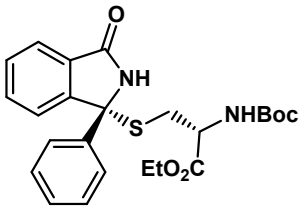
Retention times: t_1 = 11.4 min; t_2 = 18.5 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	11,3685	10,8271	13,5102	639,83	387,695	50,79
2	18,5697	17,8454	21,3847	436,145	333,061	49,21



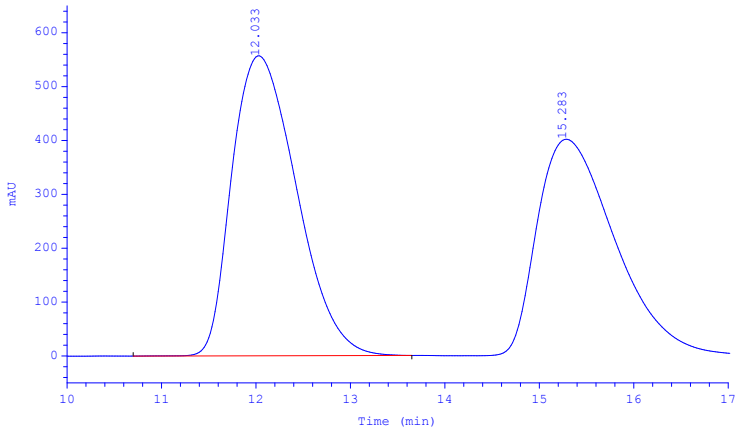
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	11,4017	10,7128	16,135	1165,83	552,729	92,2111
2	18,8695	18,1883	20,8131	67,8599	46,6882	7,78894



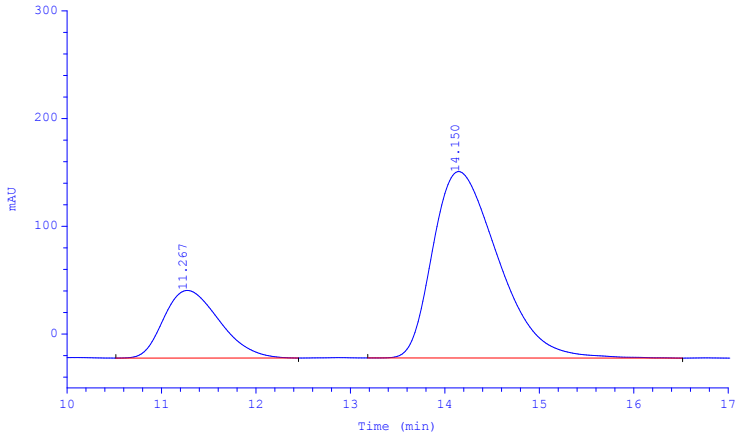
10

Column: Chiralpack OD, 5 % EtOH in hexane, 1 mL/min, 220 nm

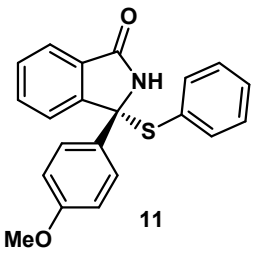
Retention times: t_1 = 11.2 min; t_2 = 14.1 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	12,0333	10,7	13,65	556,95	442,154	50,4984
2	15,2833	14,35	17,6	401,76	384,327	49,5016



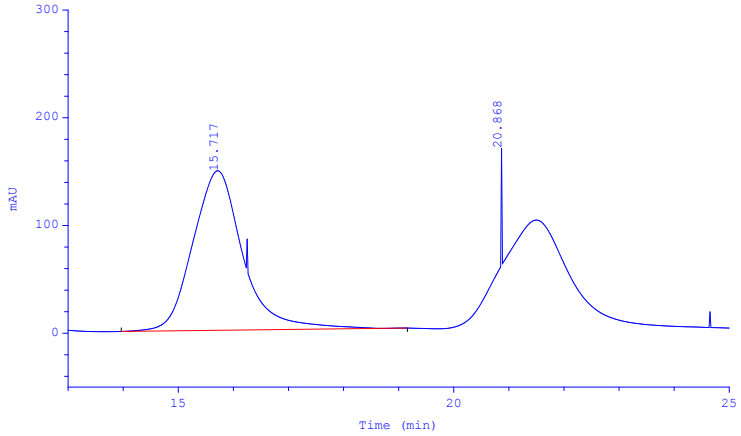
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	11,2667	10,5167	12,45	62,7612	42,811	23,5291
2	14,15	13,1833	16,5167	173,074	139,138	76,4709



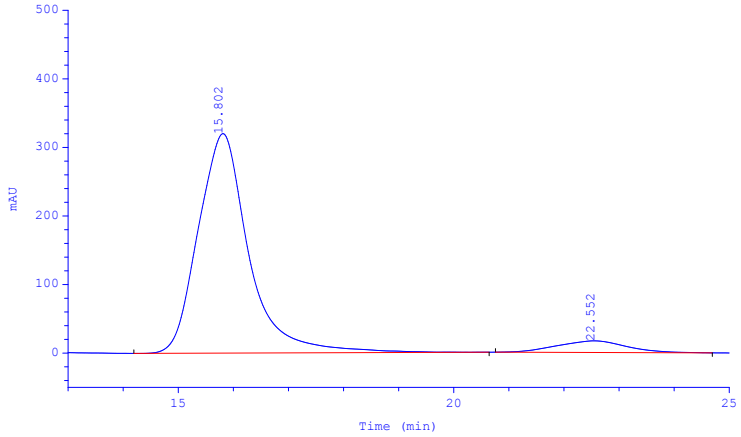
11

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

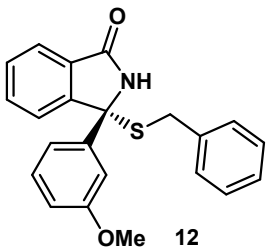
Retention times: t_1 = 15.8 min; t_2 = 22.5 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	15.7174	13.9653	19.1588	148.077	156.135	51.8012
2	20.8676	19.3292	25.7781	166.953	145.277	48.1988



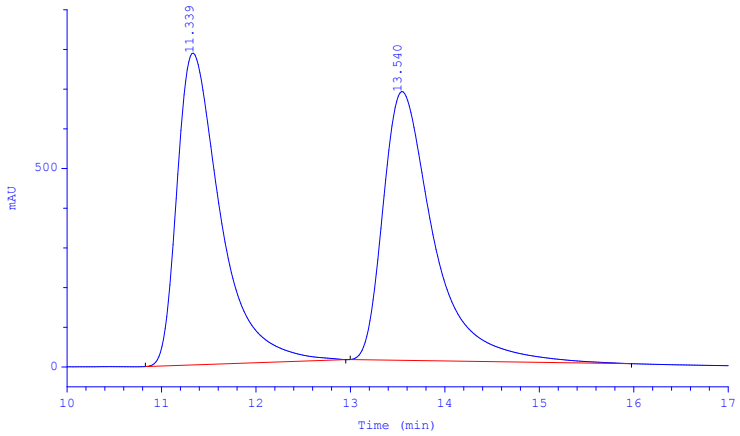
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	15.8017	14.1939	20.6427	320.058	340.084	93.2435
2	22.5525	20.757	24.6932	16.9444	24.6426	6.75647



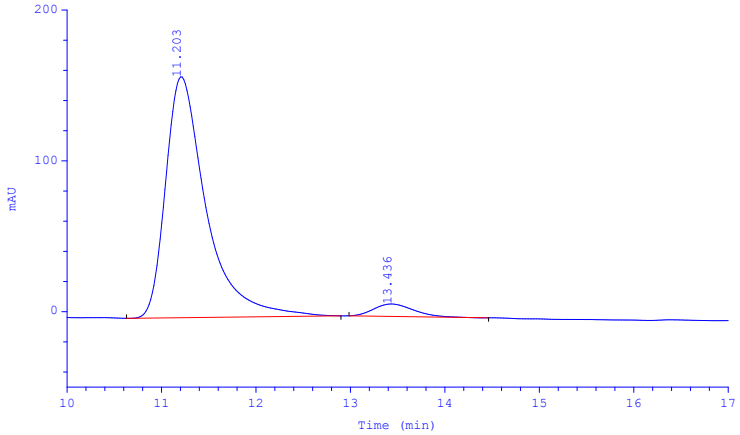
12

Column: Chiralpack AD, 20 % IPA in hexane, 1 mL/min, 220 nm

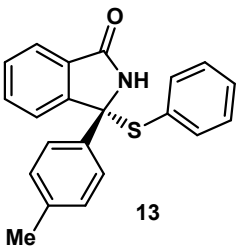
Retention times: t_1 = 11.3 min; t_2 = 13.5 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	11.3389	10.8289	12.9508	785.491	421.411	49.9742
2	13.54	12.9978	15.9757	677.086	421.847	50.0258



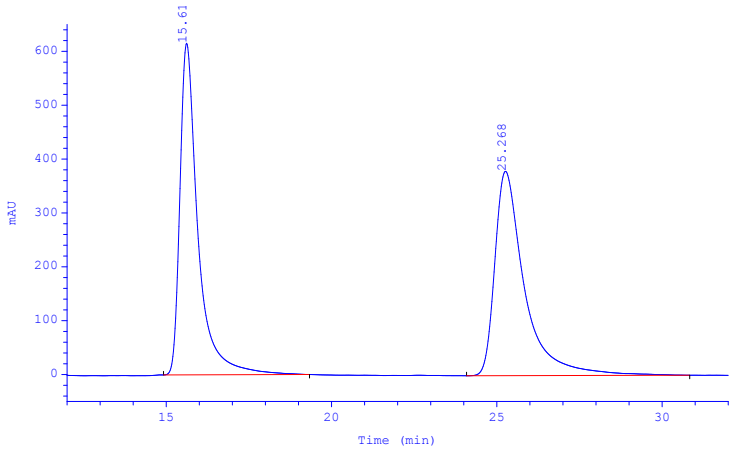
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	11,2025	10,6288	12,8997	159,756	79,4835	95,2201
2	13,4364	12,985	14,4626	8,24553	3,98994	4,77989



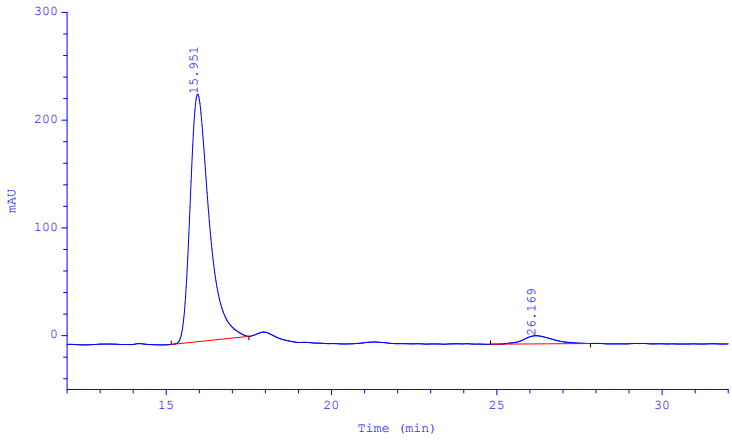
13

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

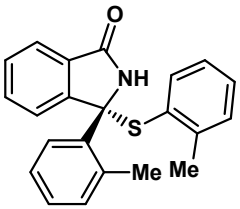
Retention times: t_1 = 15.6 min; t_2 = 25.2 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	15,6173	14,9173	19,3341	615,603	390,059	49,6334
2	25,2677	24,0843	30,8346	379,283	395,821	50,3666



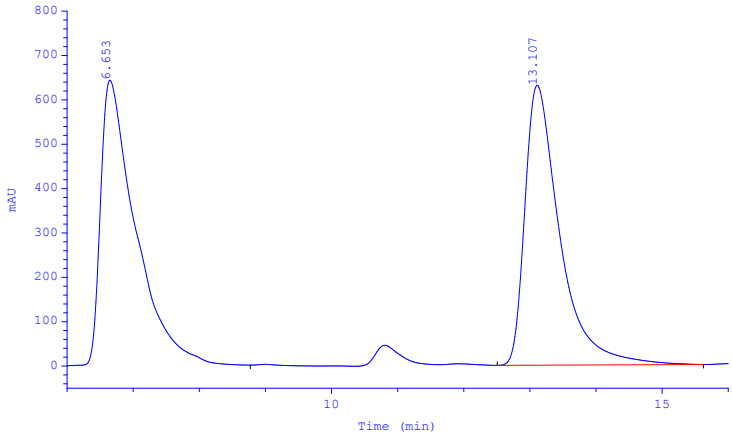
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	15,9514	15,1514	17,5016	229,674	152,97	95,0929
2	26,169	24,8075	27,8335	7,57285	7,89383	4,90714



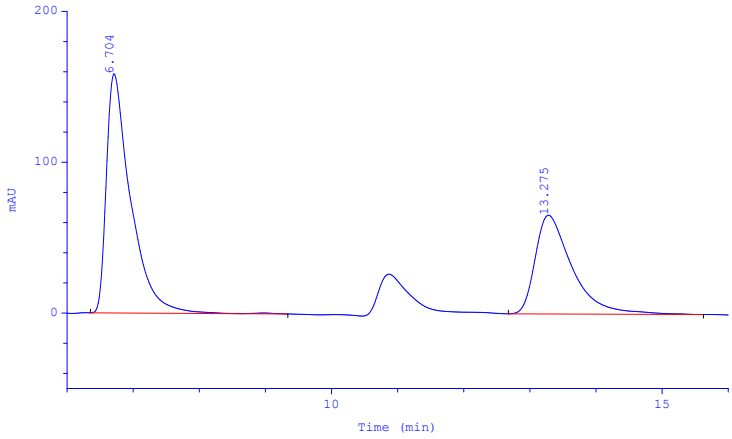
14

Column: Chiralpack AD, 15 % IPA in hexane, 1 mL/min, 220 nm

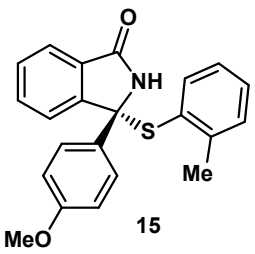
Retention times: t_1 = 6.6 min; t_2 = 13.1 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	6,65331	5,93629	8,77103	643,365	393,481	50,4796
2	13,1065	12,5062	15,6244	631,098	386,004	49,5204



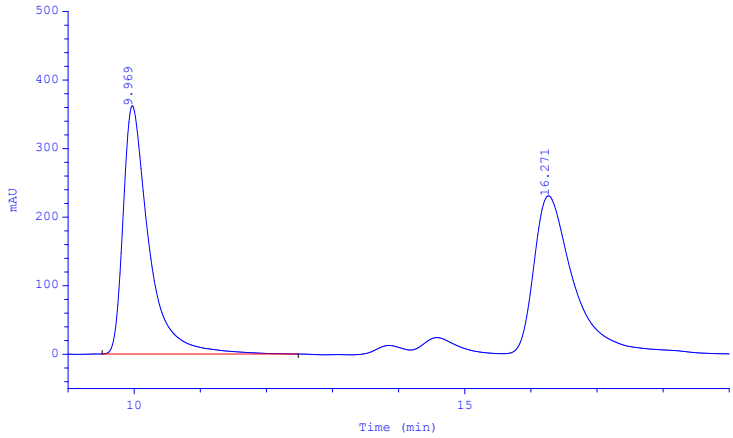
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	6,70416	6,35394	9,33912	158,506	67,6138	61,5139
2	13,2749	12,6745	15,6264	65,4657	42,3025	38,4861



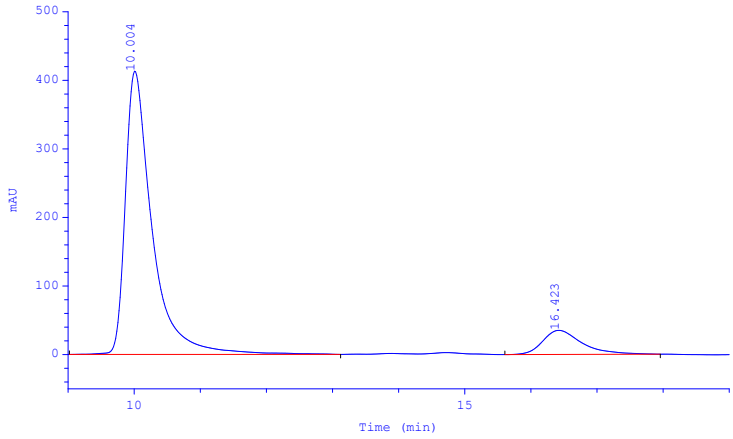
15

Column: Chiralpack AD, 20 % IPA in hexane, 1 mL/min, 220 nm

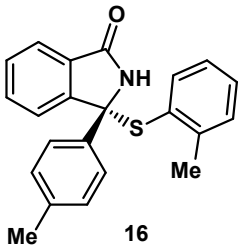
Retention times: t_1 = 9.9 min; t_2 = 16.2 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,96945	9,5158	12,4814	362,288	163,802	50,197
2	16,2712	15,6217	19,2149	230,241	162,516	49,803



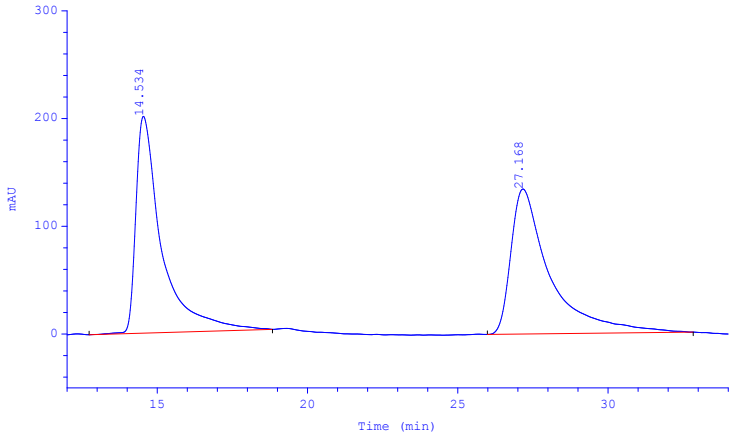
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	10,0041	9,0204	13,1221	413,093	194,028	88,9306
2	16,4235	15,6065	17,9574	35,1183	24,151	11,0694



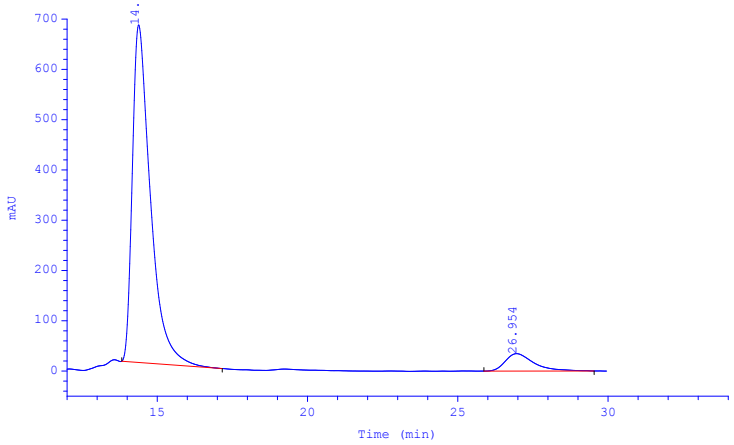
16

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

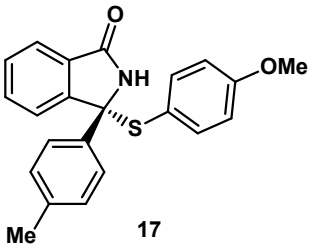
Retention times: t_1 = 14.5 min; t_2 = 27.1 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,534	12,734	18,8342	201,262	200,343	49,6272
2	27,168	25,9846	32,8349	134,582	203,353	50,3728



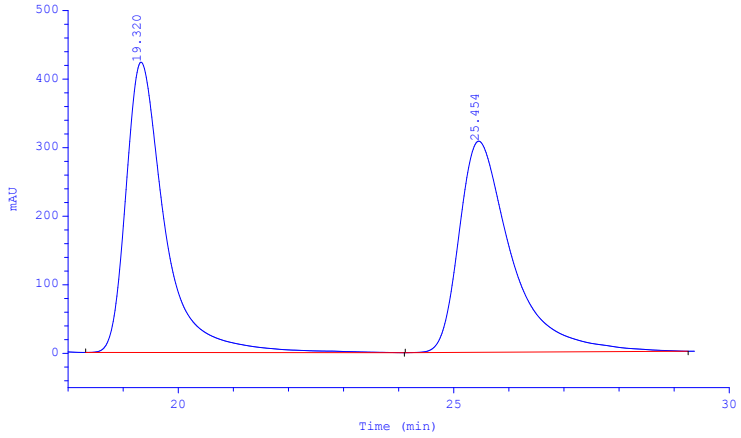
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,3853	13,8198	17,1633	671,48	469,114	92,4832
2	26,9538	25,8703	29,5375	34,6721	38,1283	7,51678



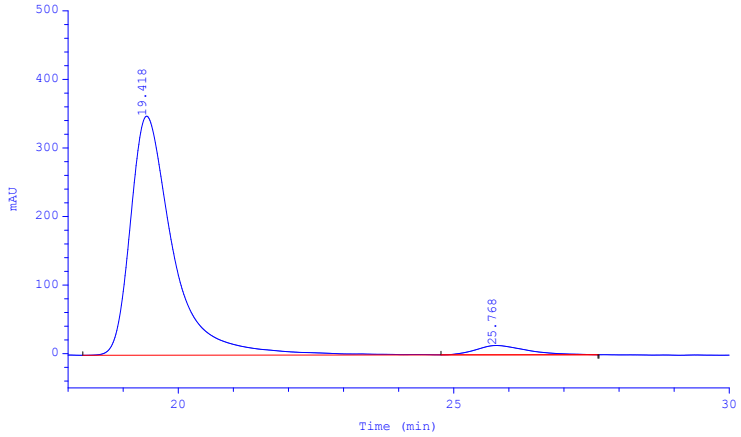
17

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

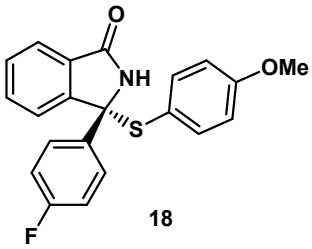
Retention times: t_1 = 19.3 min; t_2 = 25.4 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	19,3196	18,3194	24,1036	423,393	347,578	50,5506
2	25,4538	24,1203	29,2544	308,02	340,006	49,4494



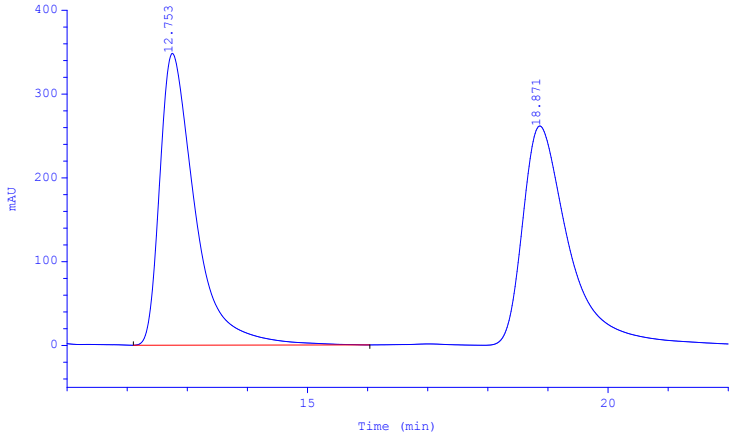
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	19,4179	18,2678	27,635	348,63	313,462	95,8382
2	25,7683	24,7682	27,6184	13,592	14,2961	4,16177



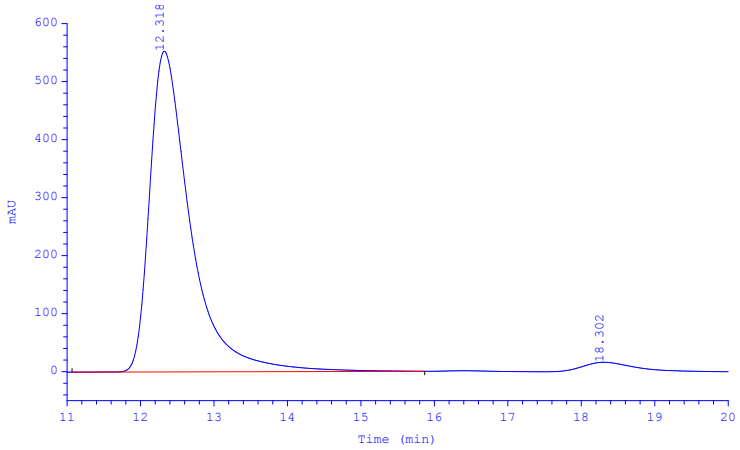
18

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

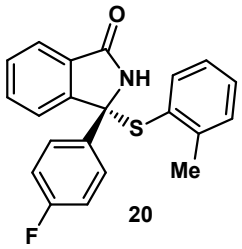
Retention times: t_1 = 12.7 min; t_2 = 18.8 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	12,7529	12,1027	16,0369	348,293	237,07	50,382
2	18,8709	17,9374	22,405	261,51	233,475	49,618



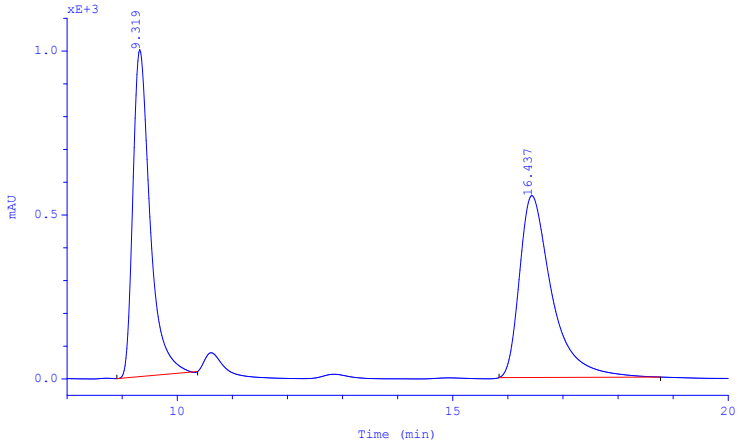
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	12,3177	11,0676	15,868	552,805	354,893	96,4146
2	18,3015	17,4848	20,9684	16,5084	13,9626	3,58539



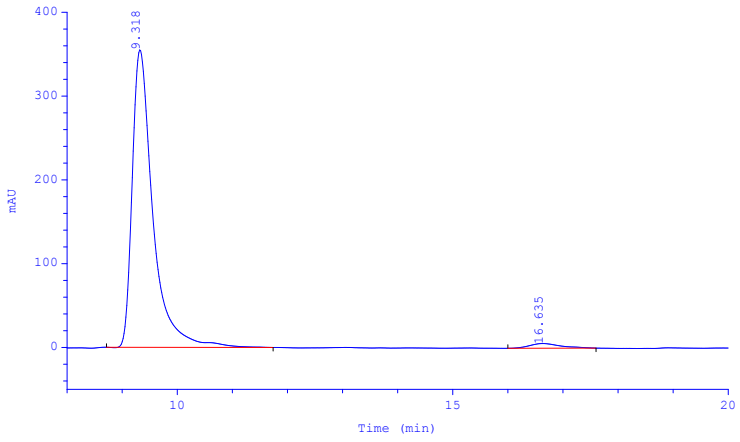
20

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

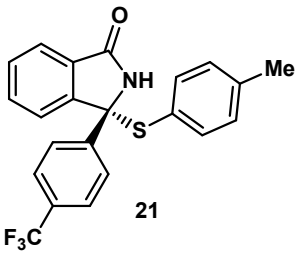
Retention times: t_1 = 9.3 min; t_2 = 16.4 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9.31883	8.90354	10.3692	997.359	360.57	48.953
2	16.4371	15.8402	18.7702	554.927	377.502	51.147



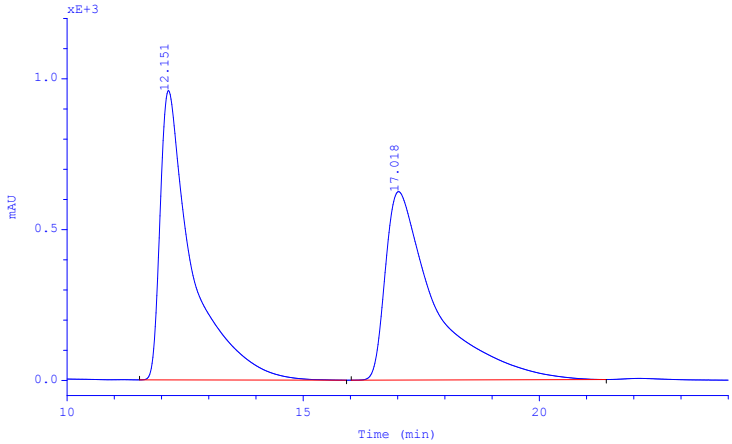
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9.31757	8.71563	11.7395	354.907	154.312	97.8972
2	16.6349	16.0006	17.6005	5.58938	3.63722	2.10278



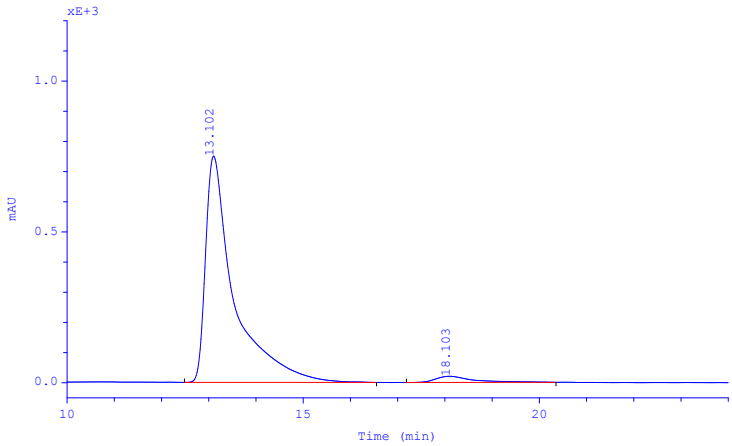
21

Column: Chiralpack AD, 10 % EtOH in hexane, 1 mL/min, 220 nm

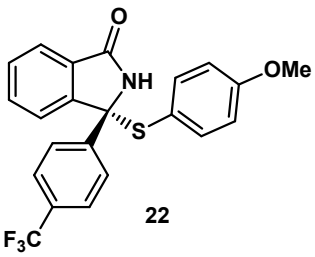
Retention times: t_1 = 12.1 min; t_2 = 15.9 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	12,1507	11,534	15,9175	959,251	755,378	49,8321
2	17,0176	16,0175	21,4178	624,57	760,469	50,1679



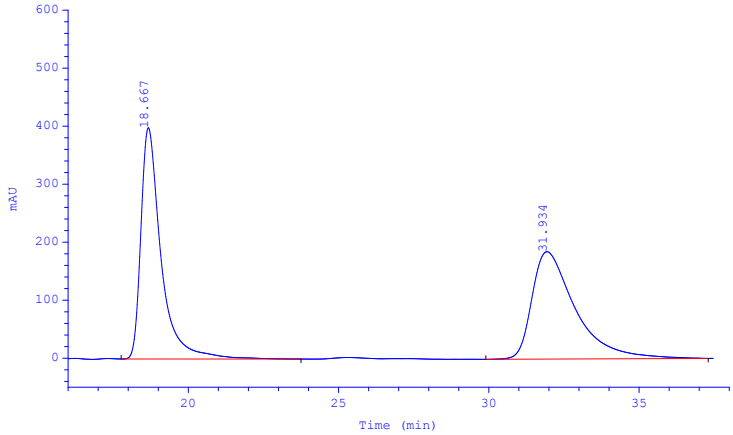
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	13,1019	12,4851	16,5524	750,374	524,952	96,489
2	18,1026	17,1858	20,3529	20,5395	19,102	3,51105



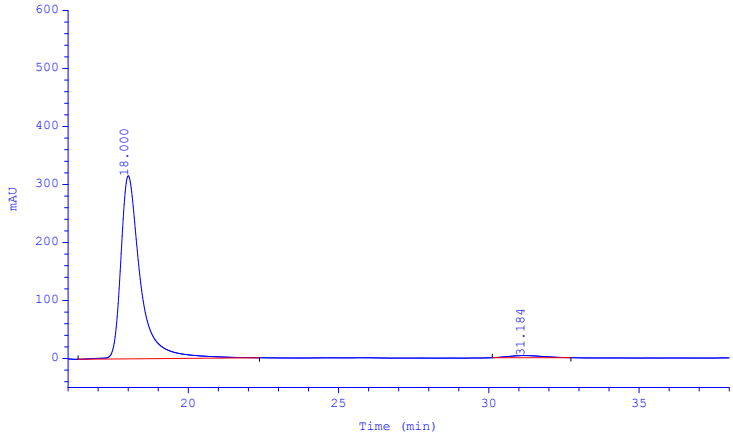
22

Column: Chiralpack AD, 5 % EtOH in hexane, 1 mL/min, 220 nm

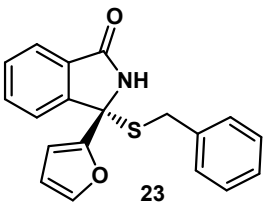
Retention times: t_1 = 18.6 min; t_2 = 31.9 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	18,6672	17,7672	23,7507	398,623	310,215	50,0492
2	31,9342	29,9008	37,3011	185,148	309,605	49,9508



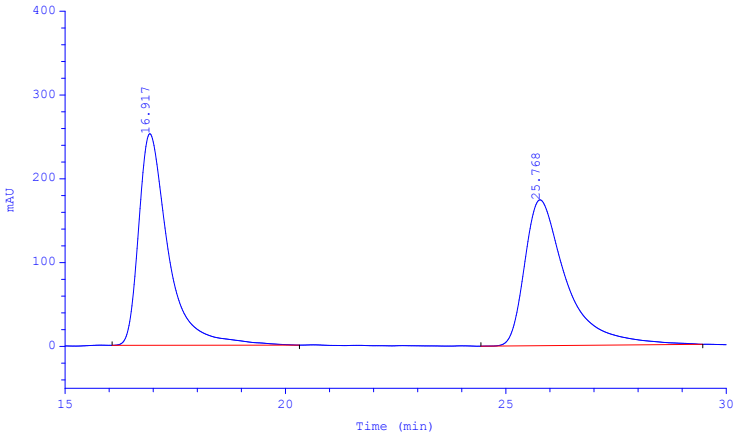
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	18,0002	16,3335	22,3669	315,542	237,724	98,4327
2	31,1836	30,1154	32,7314	3,62224	4,77057	1,66729



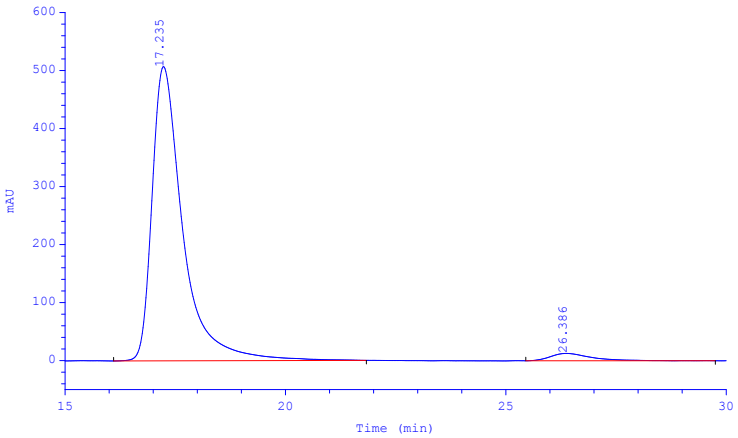
23

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

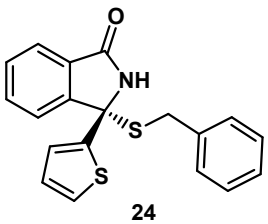
Retention times: t_1 = 16.9 min; t_2 = 25.7 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	16,9172	16,0672	20,3173	252,431	196,995	50,8317
2	25,7675	24,4341	29,4676	174,017	190,549	49,1683



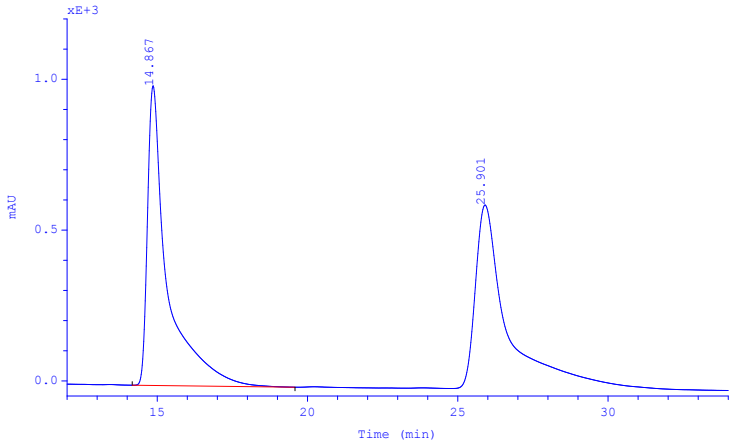
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	17,2349	16,1014	21,8353	507,235	410,985	96,8138
2	26,3857	25,4522	29,7526	12,6592	13,5259	3,18623



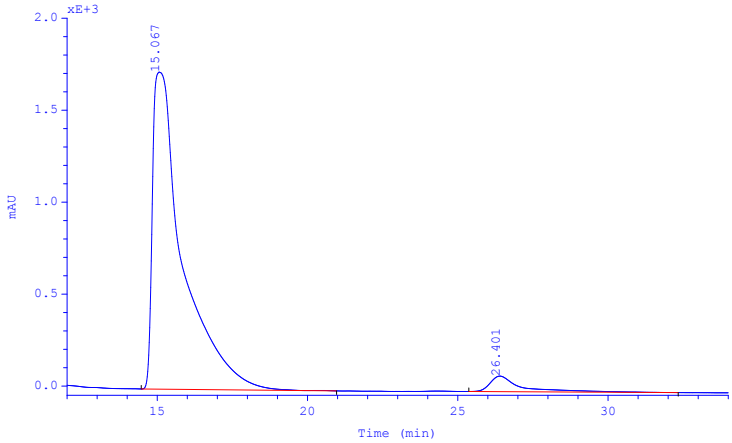
24

Column: Chiralpack AD, 20 % IPA in hexane, 1 mL/min, 220 nm

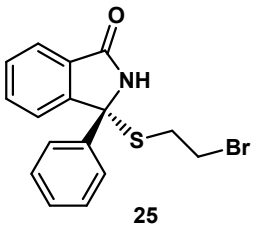
Retention times: t_1 = 12.1 min; t_2 = 15.9 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	14,8674	14,1673	19,5843	993,203	760,893	49,442
2	25,9012	24,7345	34,9517	609,059	778,069	50,558



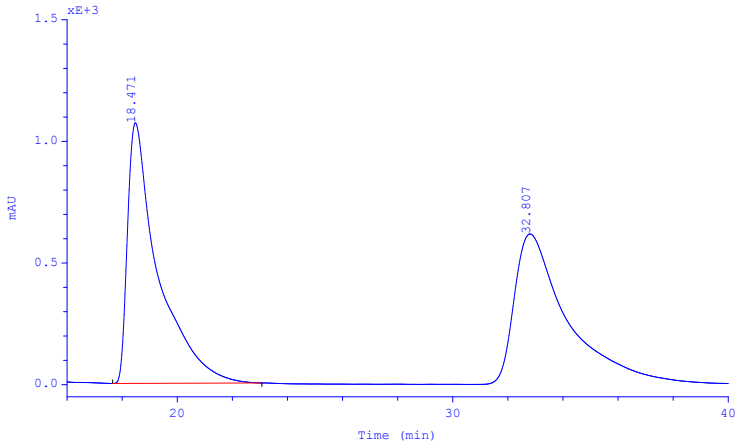
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	15,0674	14,4674	20,9678	1723,61	2003,78	95,1818
2	26,4014	25,368	32,335	84,5136	101,433	4,81818



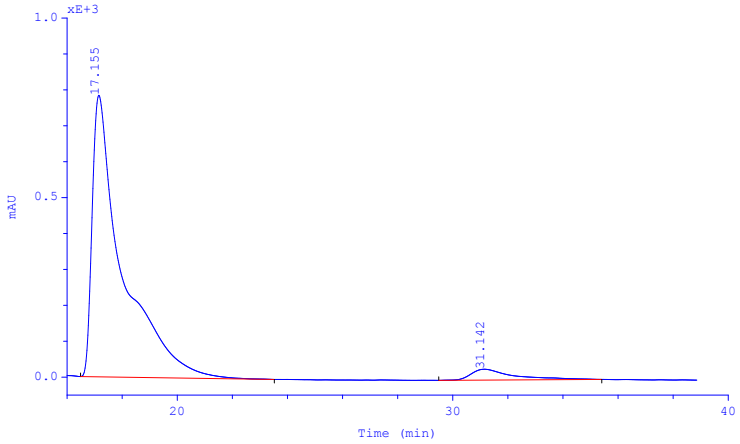
25

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

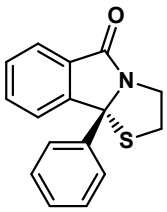
Retention times: t_1 = 17.1 min; t_2 = 31.1 min.



Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	18,4708	17,654	23,0719	1070,74	1379,5	49,9928
2	32,8074	30,6069	40,1757	617,886	1379,9	50,0072



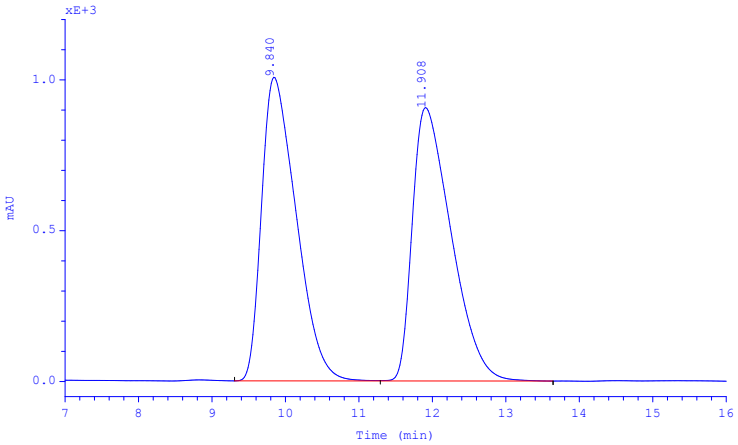
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	17,1548	16,4879	23,5232	784,009	989,164	94,8772
2	31,142	29,4915	35,4098	30,2886	53,4093	5,12283



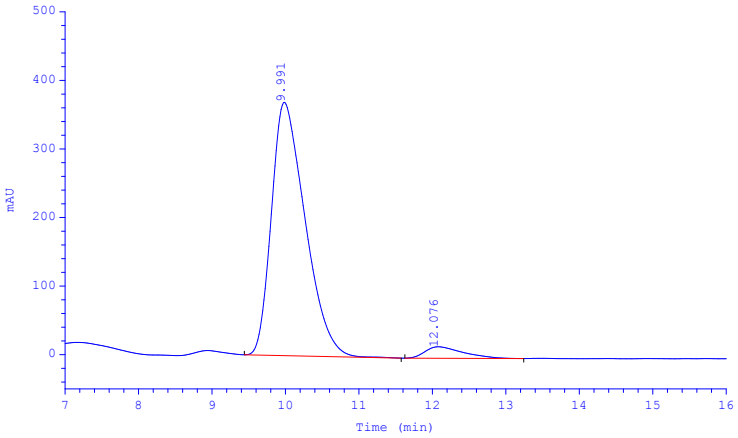
26

Column: Chiralpack AD, 10 % IPA in hexane, 1 mL/min, 220 nm

Retention times: t_1 = 9.9 min; t_2 = 12.0 min.

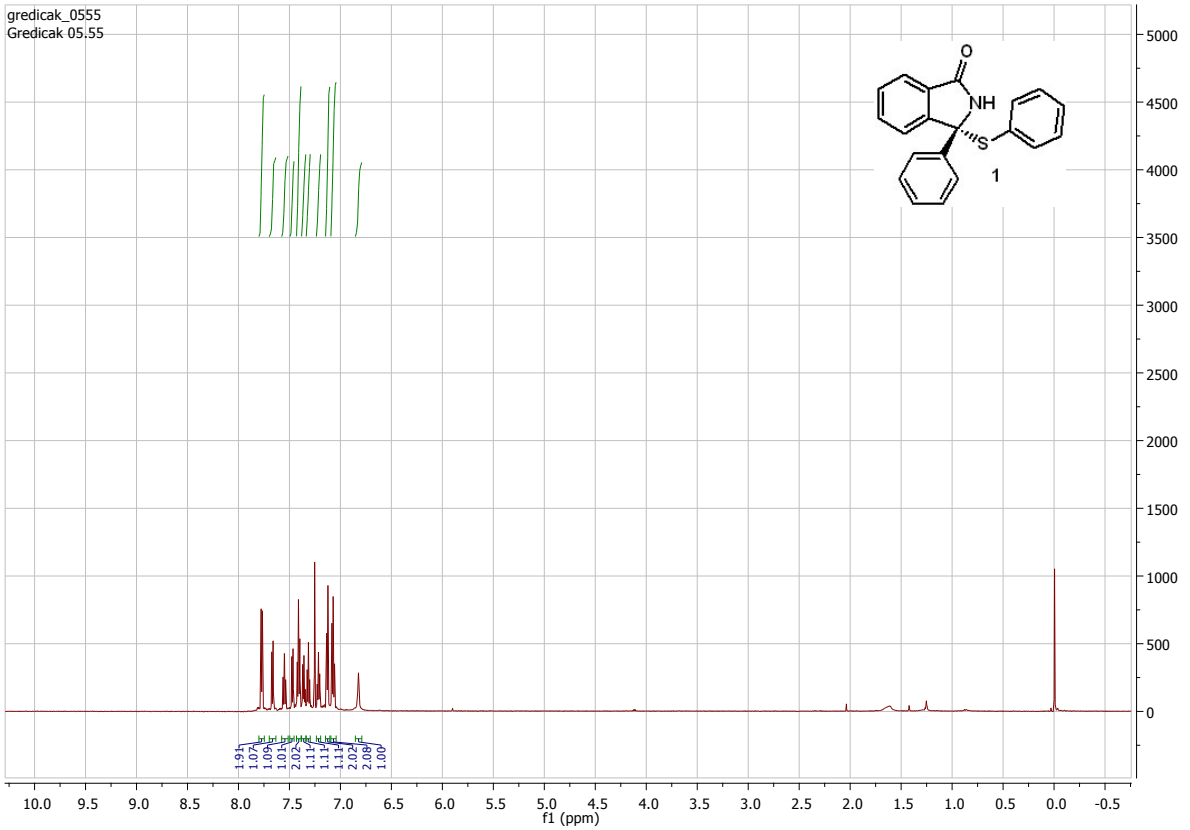


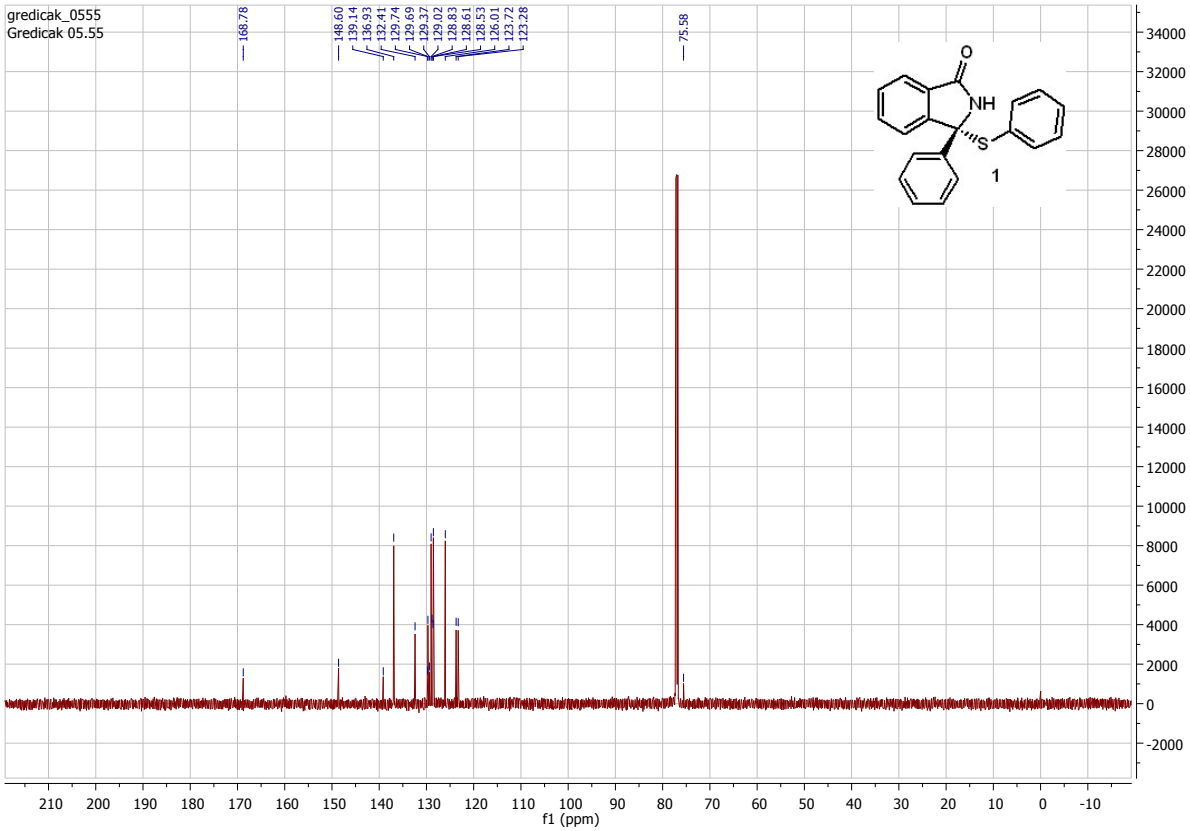
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,84027	9,30656	11,2913	1006,49	550,55	49,8093
2	11,9084	11,2913	13,6429	906,381	554,766	50,1907

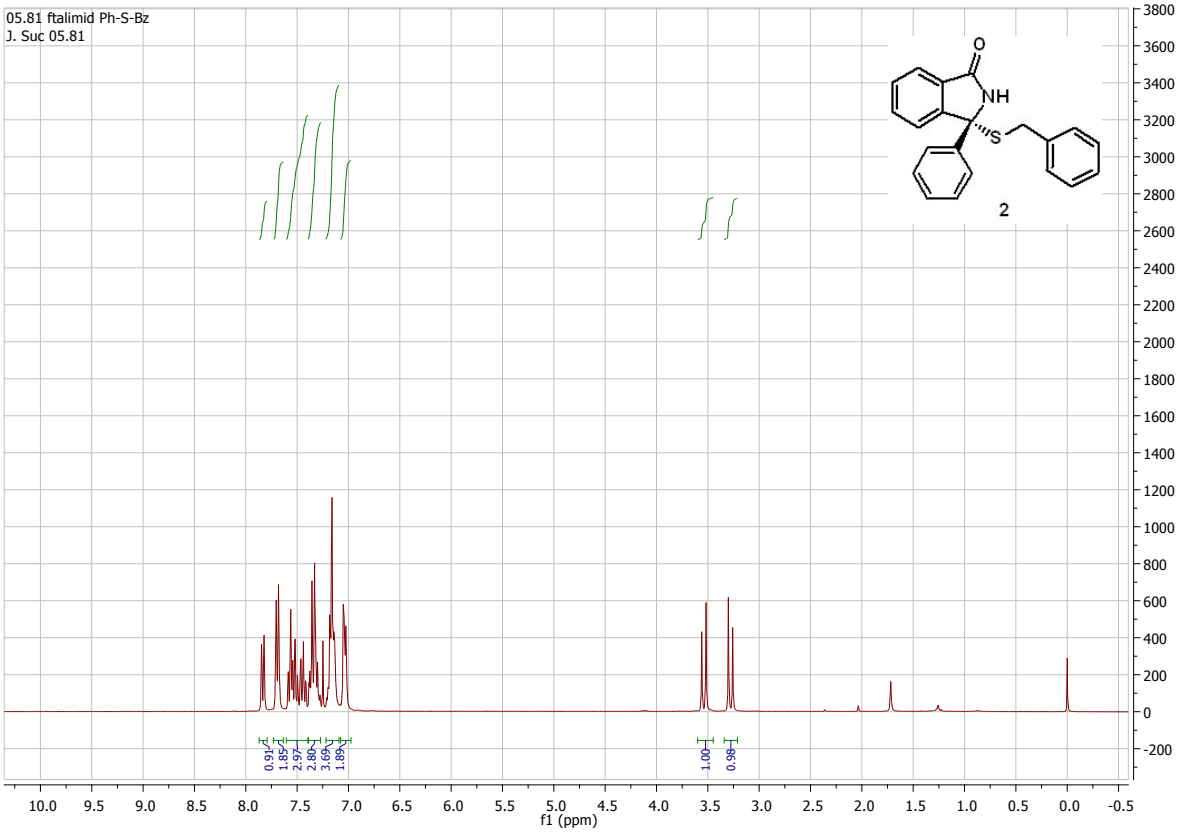


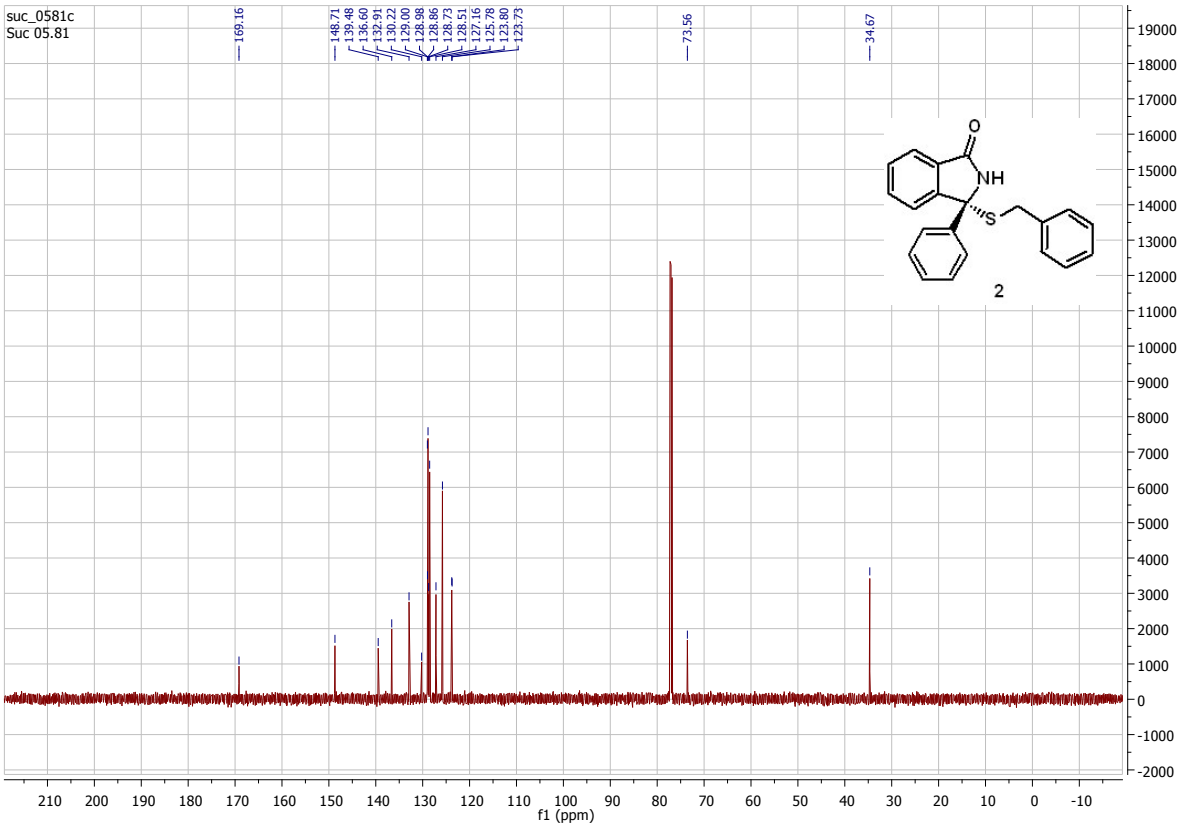
Peak	Ret.time [min]	Start [min]	End [min]	Height [mAU]	Area [mAU*min]	% Area
1	9,99079	9,44038	11,5753	369,443	196,283	93,1431
2	12,0757	11,6253	13,2432	16,7955	10,02	6,85694

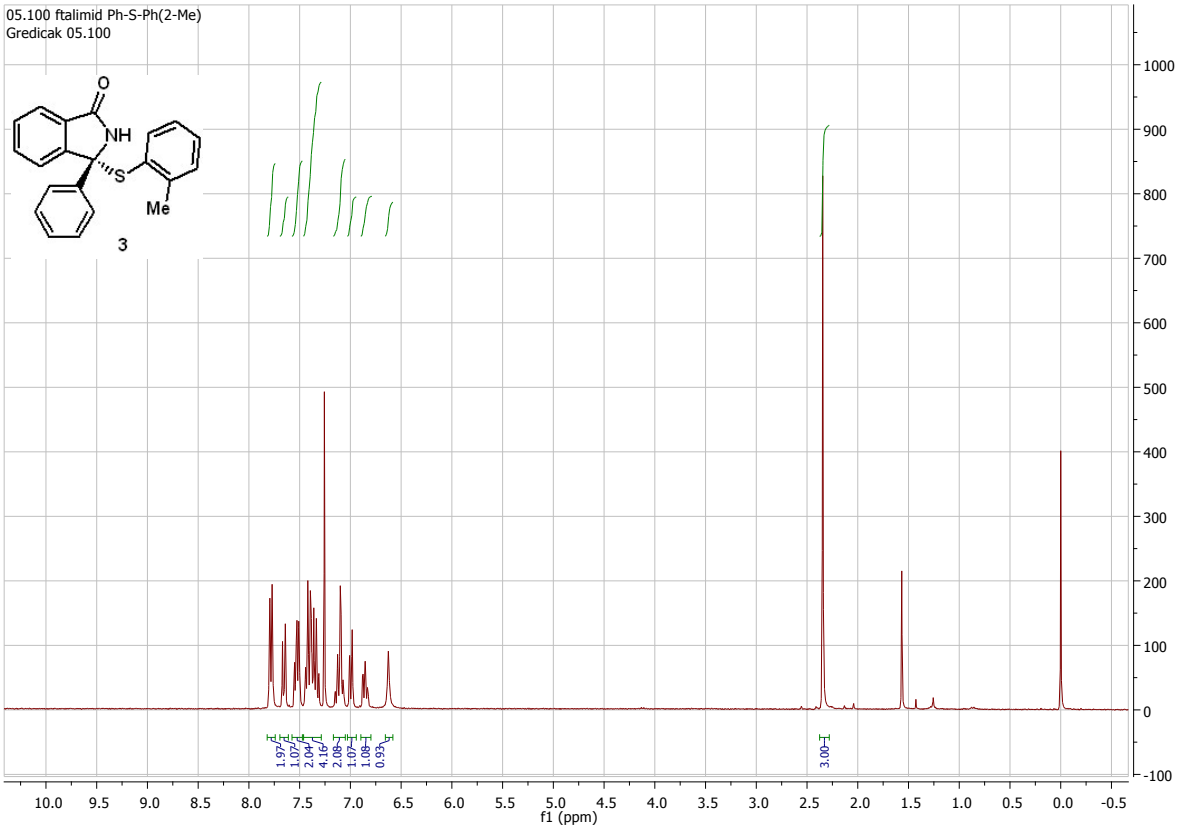
8. NMR SPECTRA

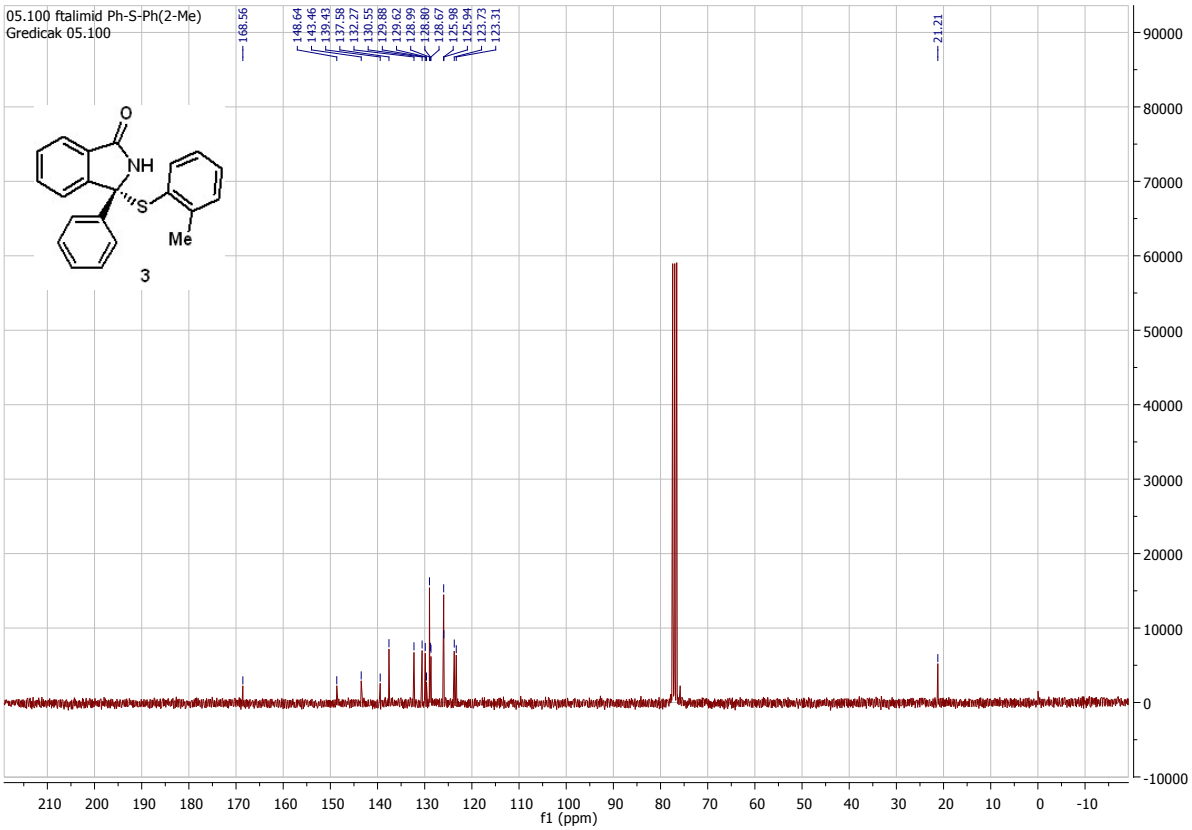

gredicak_0555
Gredicak 05.55
O
NH
S
1
f1 (ppm)

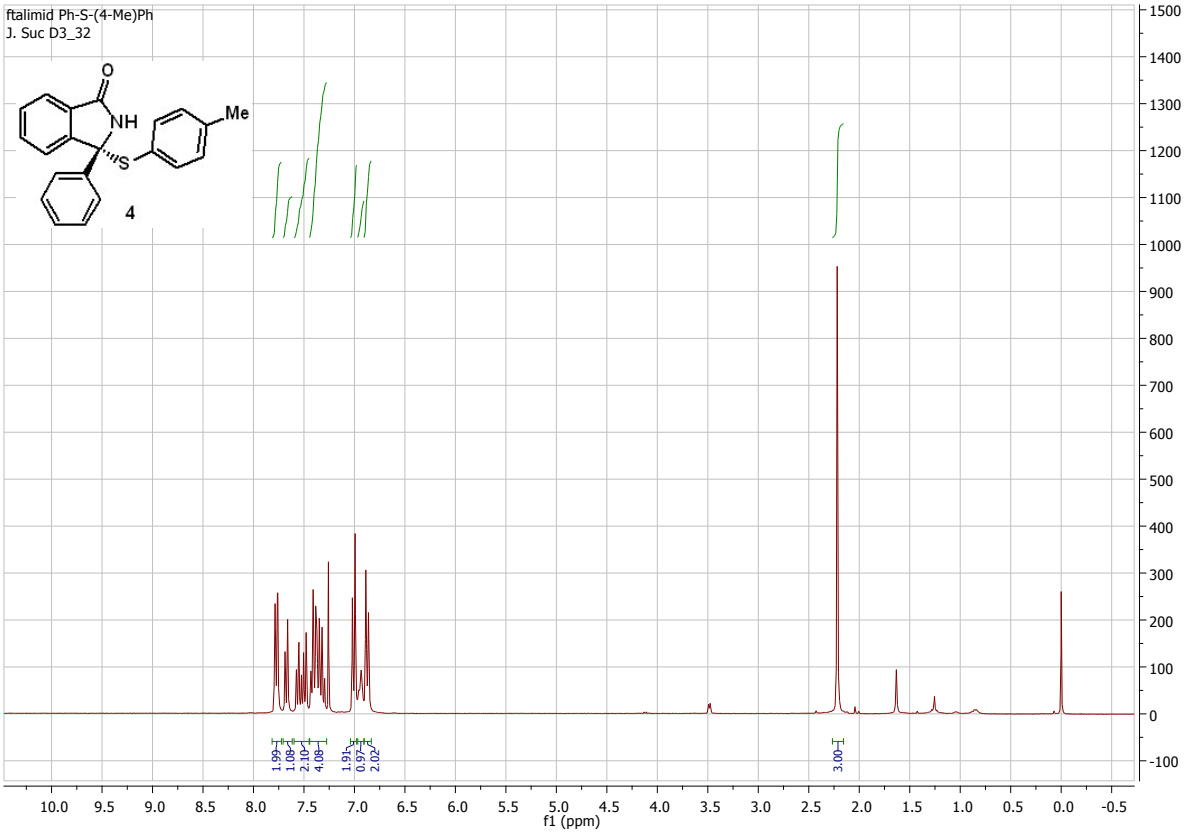

gredicak_0555
Gredicak 05.55
168.78
148.60
139.14
136.93
132.41
129.74
129.69
129.37
129.02
128.83
128.61
128.53
126.01
123.72
123.28
75.58
O
NH
S
1
f1 (ppm)

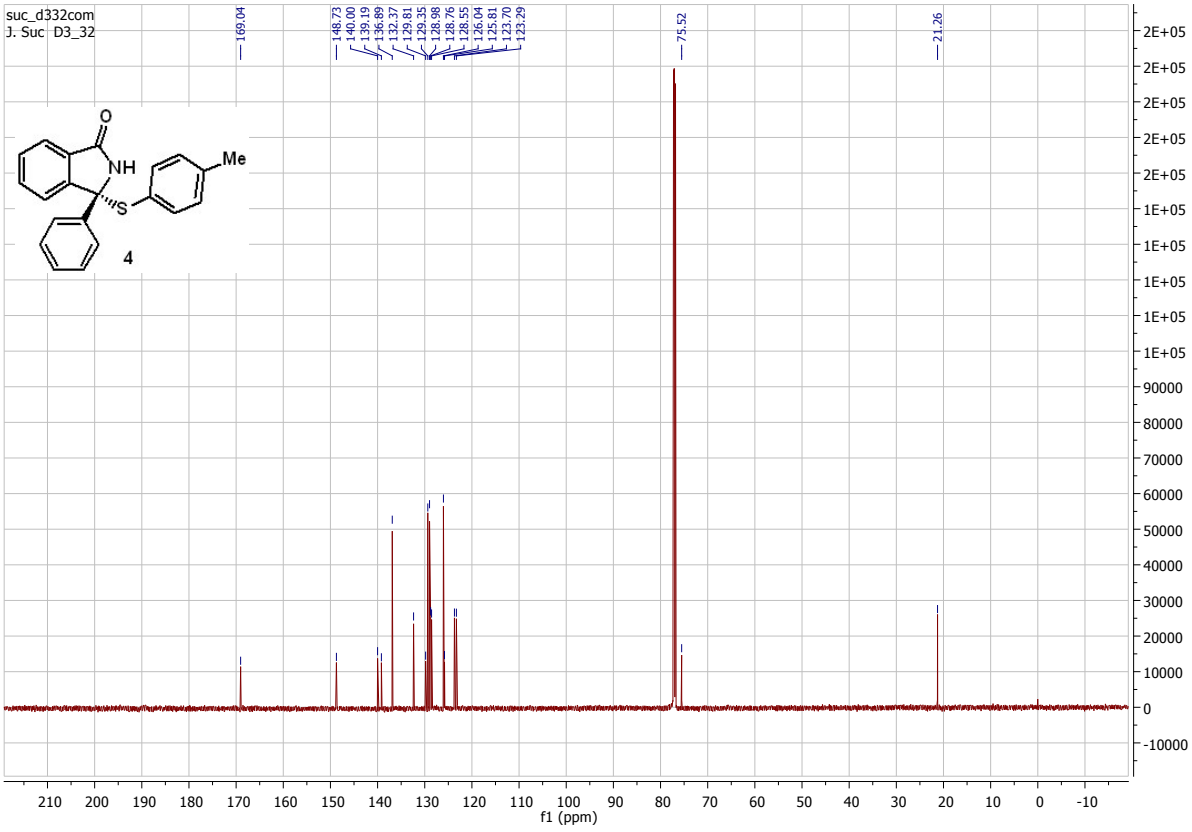

05.81 ftalimid Ph-S-Bz
J. Suc 05.81
O
NH
S
2
f1 (ppm)

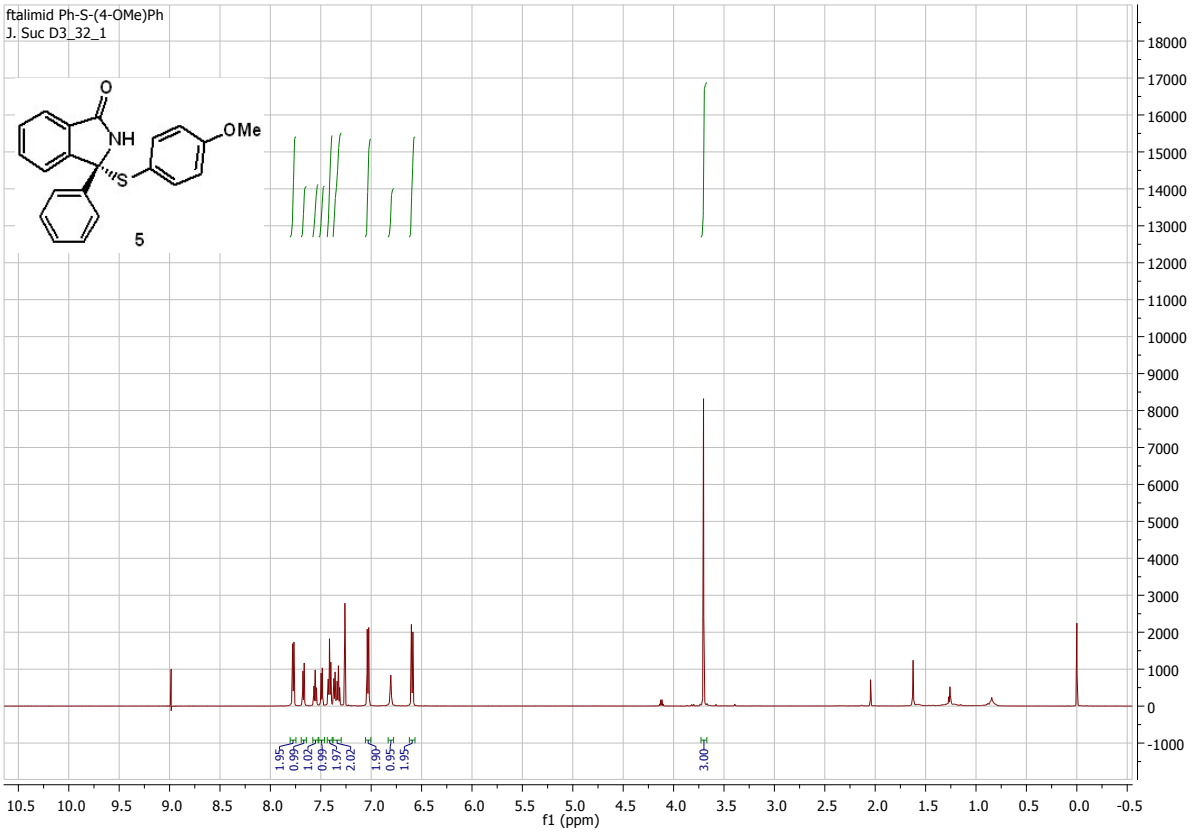

suc_0581c
Suc 05.81
169.16
148.71
139.48
136.60
132.91
130.22
129.00
128.98
128.86
128.73
128.51
127.16
125.78
123.80
123.73
73.56
34.67
O
NH
S
2
f1 (ppm)

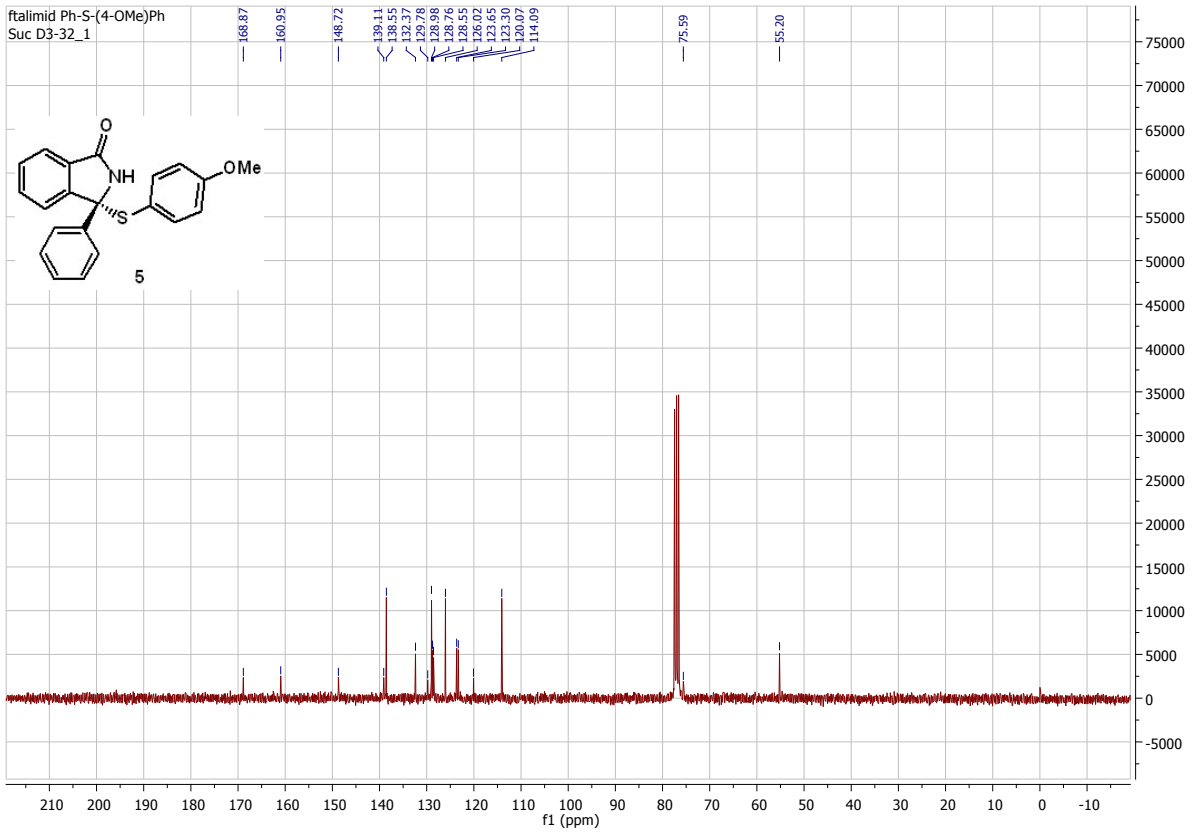

05.100 ftalimid Ph-S-Ph(2-Me)
Gredicak 05.100
O
NH
S
Me
3
1.97
1.07
2.04
4.16
2.08
1.07
1.08
0.93
3.00
f1 (ppm)

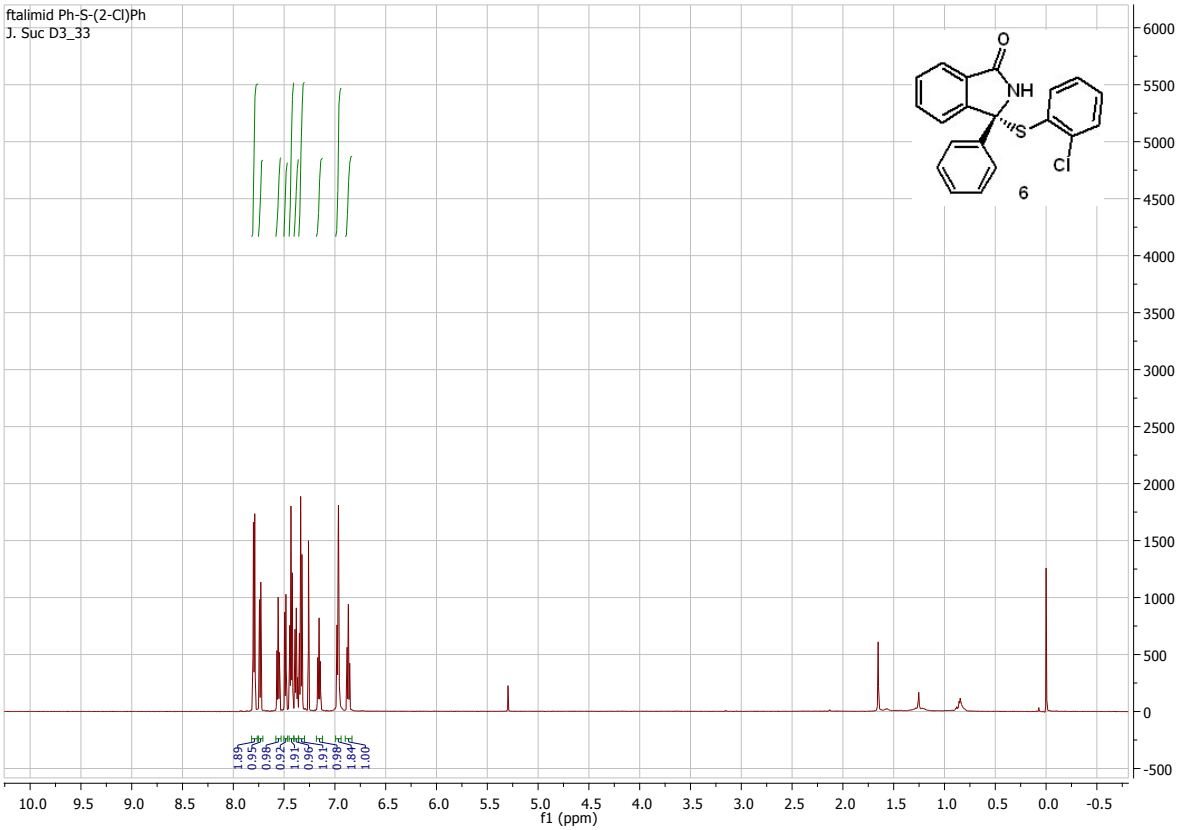

05.100 ftalimid Ph-S-Ph(2-Me)
Gredicak 05.100
168.56
148.64
143.46
139.43
137.38
132.27
130.55
129.88
129.62
128.99
128.80
128.67
125.98
125.94
123.73
123.31
21.21
O
NH
S
Me
3
f1 (ppm)

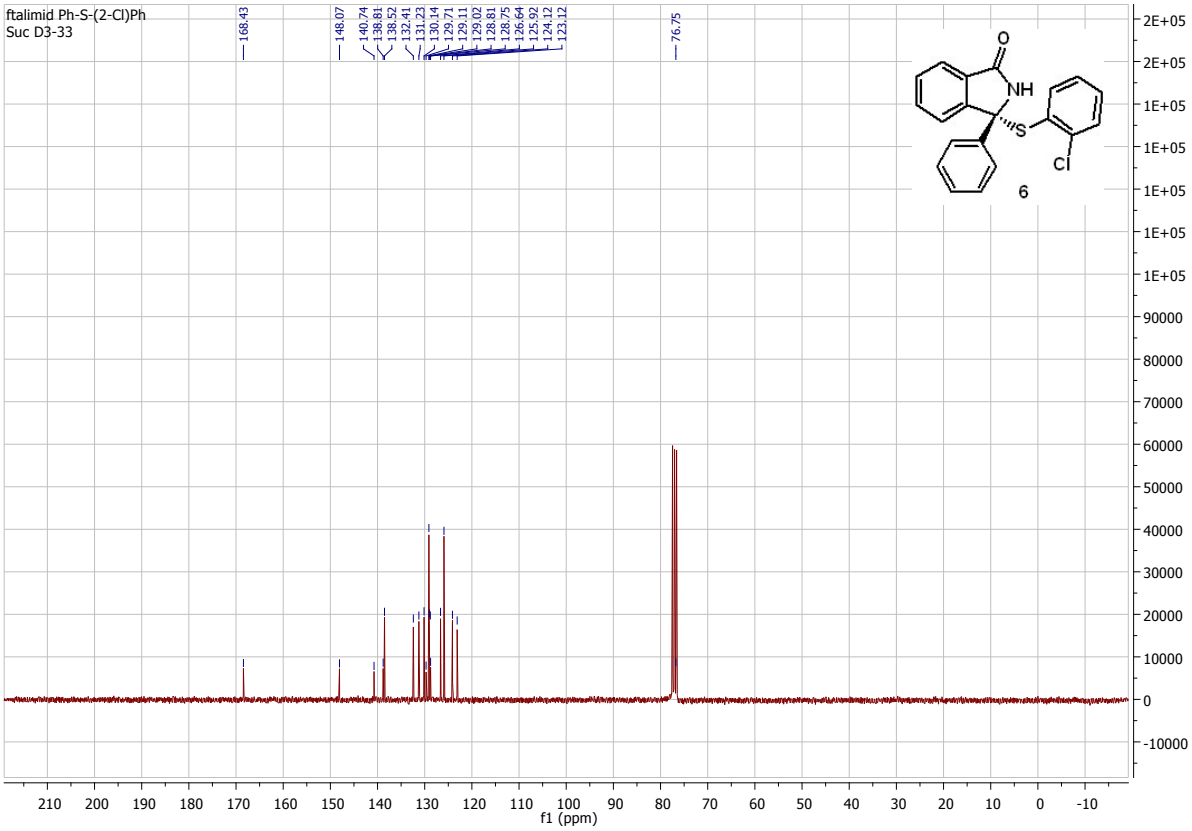

ftalimid Ph-S-(4-Me)Ph
J. Suc D3_32
O
NH
S
Me
4
1.99
1.08
2.10
4.08
1.91
0.97
2.02
3.00
f1 (ppm)

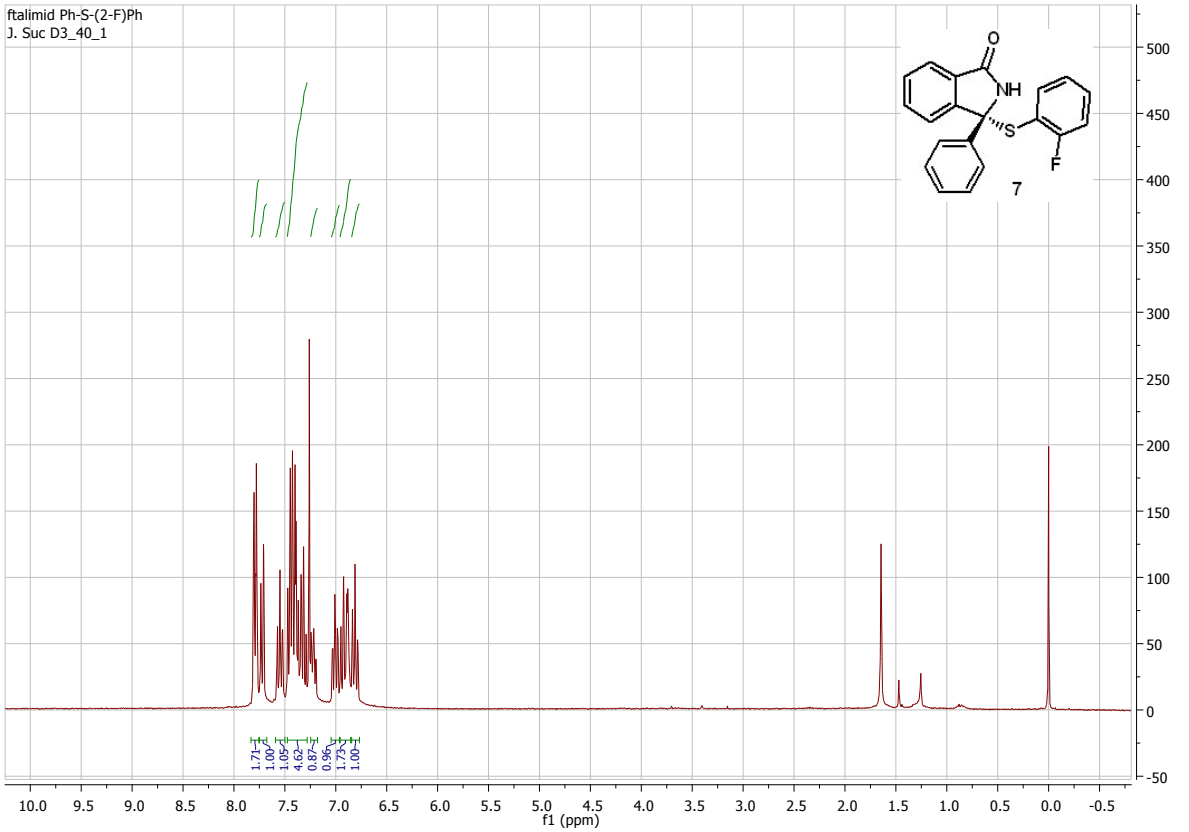

suc_d332com
J. Suc D3_32
O
NH
S
Me
4
169.04
148.73
140.00
139.19
136.89
132.37
129.81
129.35
128.98
128.76
128.55
126.04
125.81
123.70
123.29
75.52
21.26
f1 (ppm)

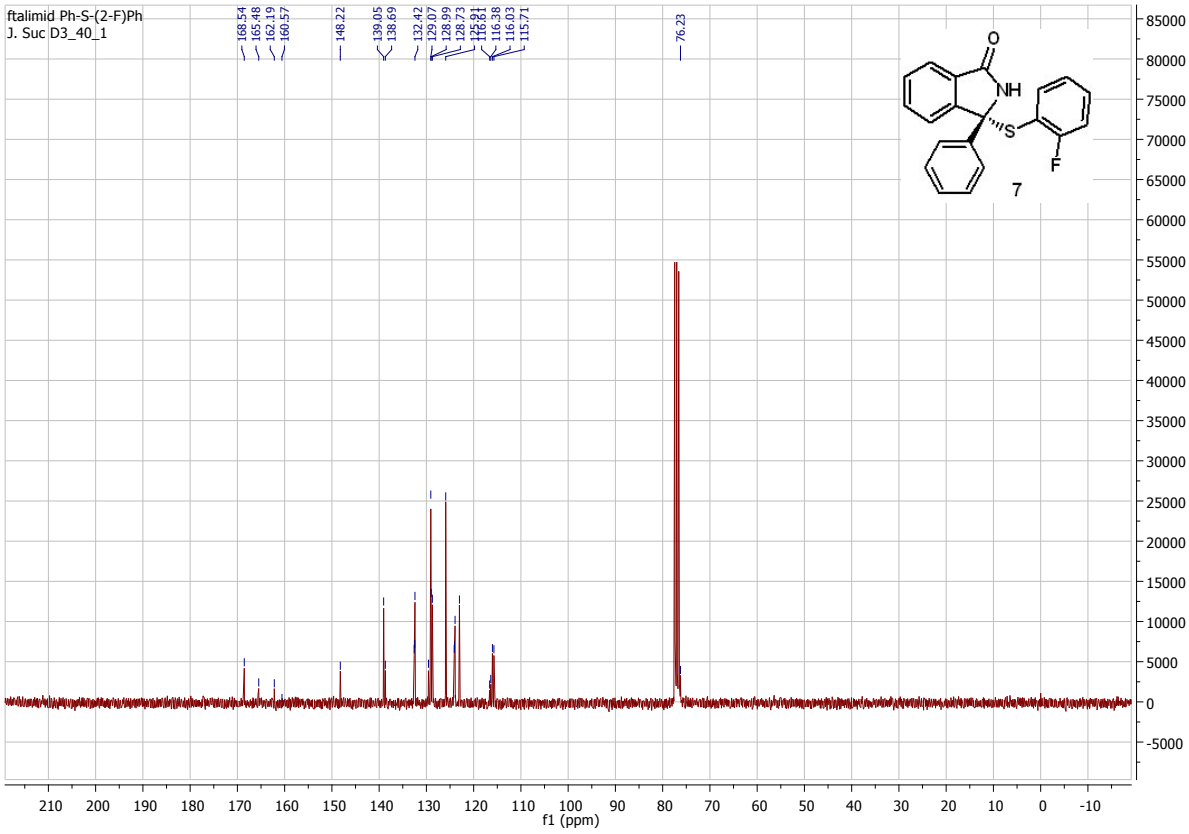

ftalimid Ph-S-(4-OMe)Ph
J. Suc D3_32_1
O
NH
S
OMe
5
1.95
0.99
1.02
0.99
1.97
2.02
1.90
0.95
1.95
3.00
f1 (ppm)

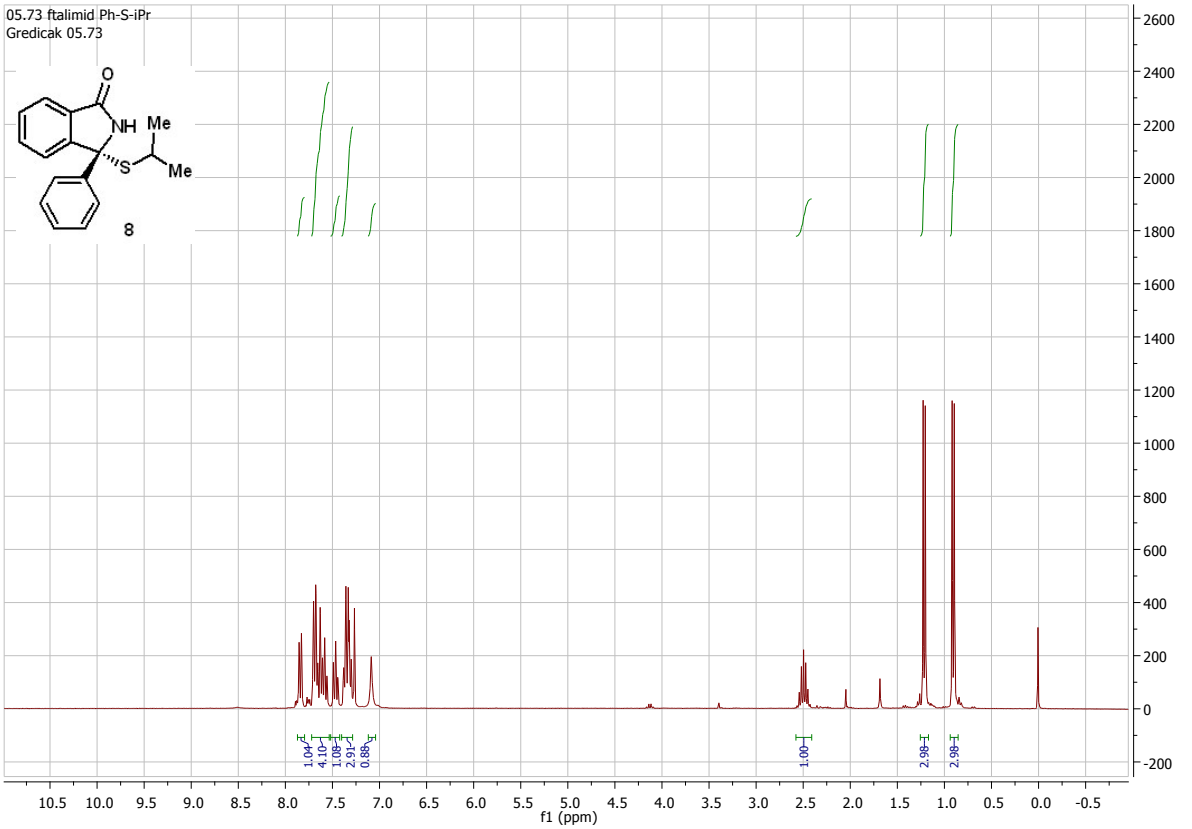

ftalimid Ph-S-(4-OMe)Ph
Suc D3-32_1
168.87
160.95
148.72
139.11
138.55
132.37
129.78
128.98
128.76
128.55
126.02
123.65
123.30
120.07
114.09
75.59
55.20
O
NH
S
OMe
5
f1 (ppm)

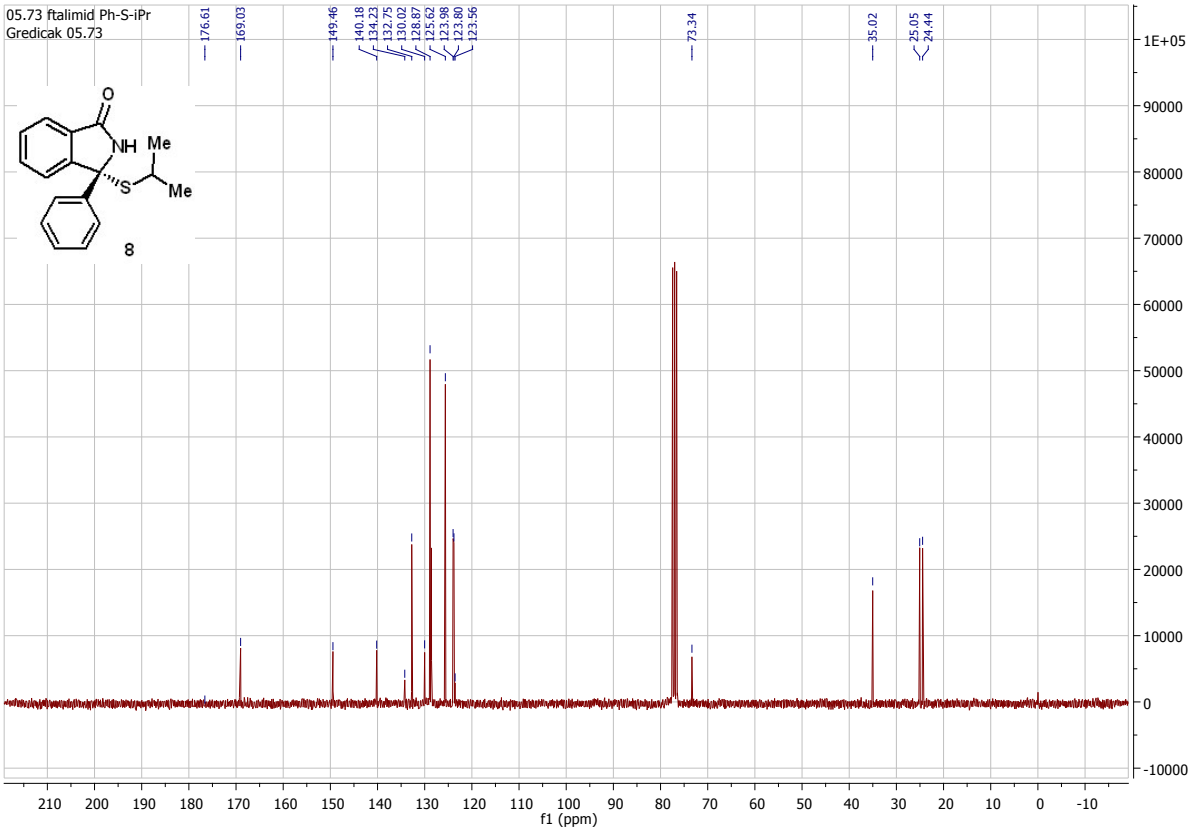

ftalimid Ph-S-(2-Cl)Ph
J. Suc D3_33
O
NH
S
Cl
6
1.89
0.95
0.98
0.92
1.91
0.96
1.91
0.99
1.84
1.00
f1 (ppm)

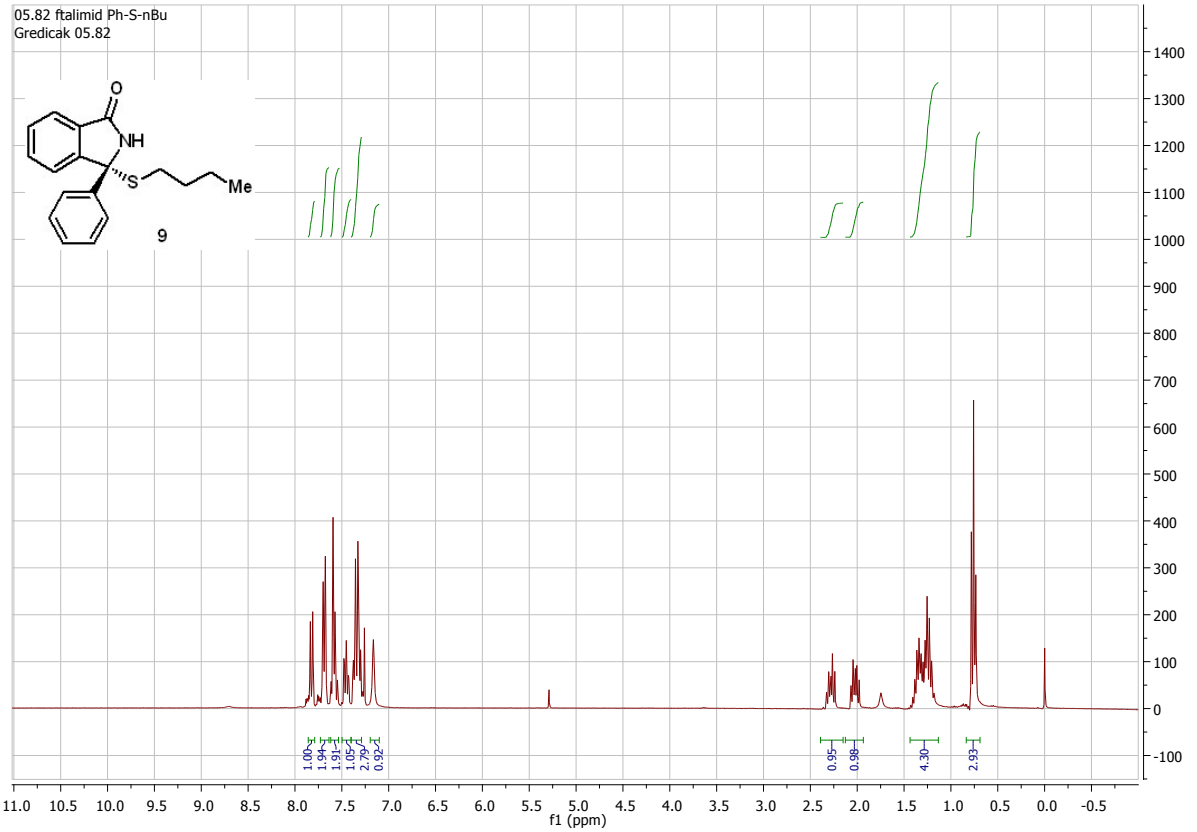

ftalimid Ph-S-(2-Cl)Ph
Suc D3-33
168.43
148.07
140.74
138.81
138.52
132.41
131.23
130.14
129.71
129.11
129.02
128.81
128.75
126.64
125.92
124.12
123.12
76.75
O
NH
S
Cl
6
f1 (ppm)

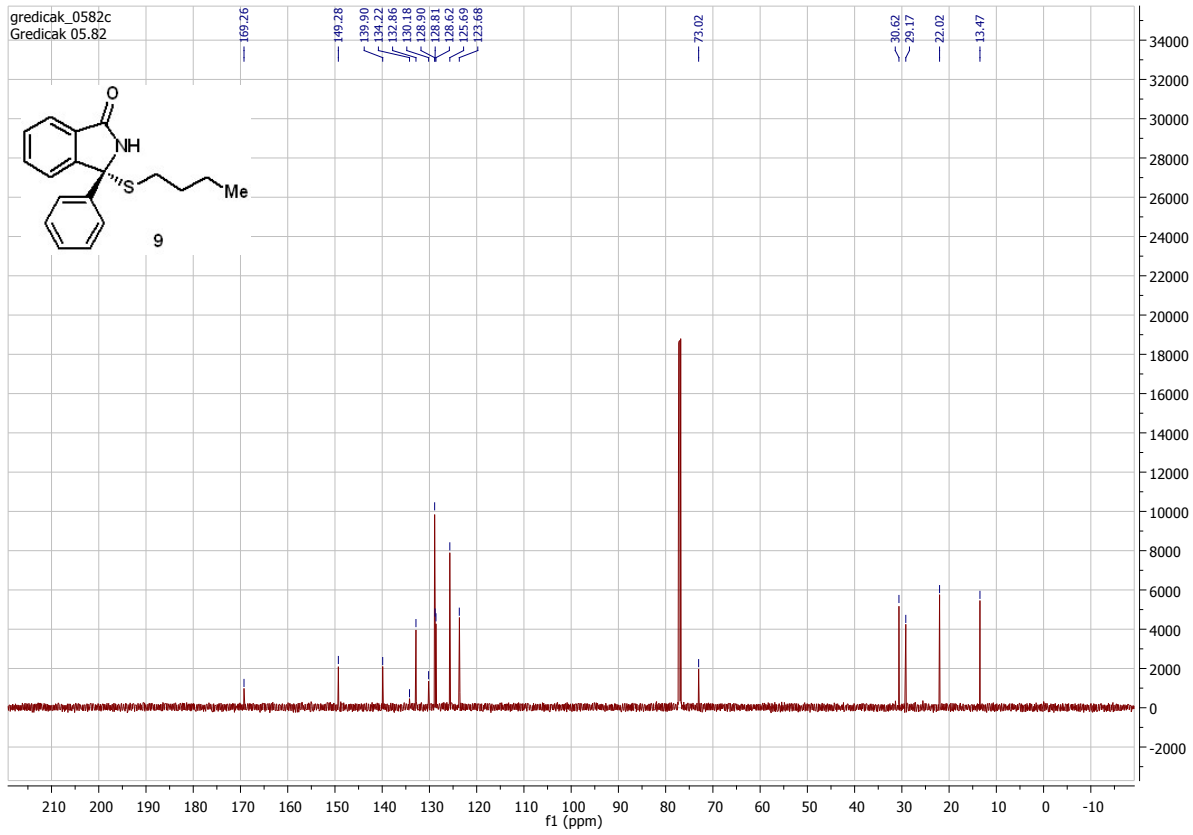

ftalimid Ph-S-(2-F)Ph
J. Suc D3_40_1
O
NH
S
F
7
1.71
1.00
1.05
4.62
0.87
0.96
1.73
1.00
f1 (ppm)

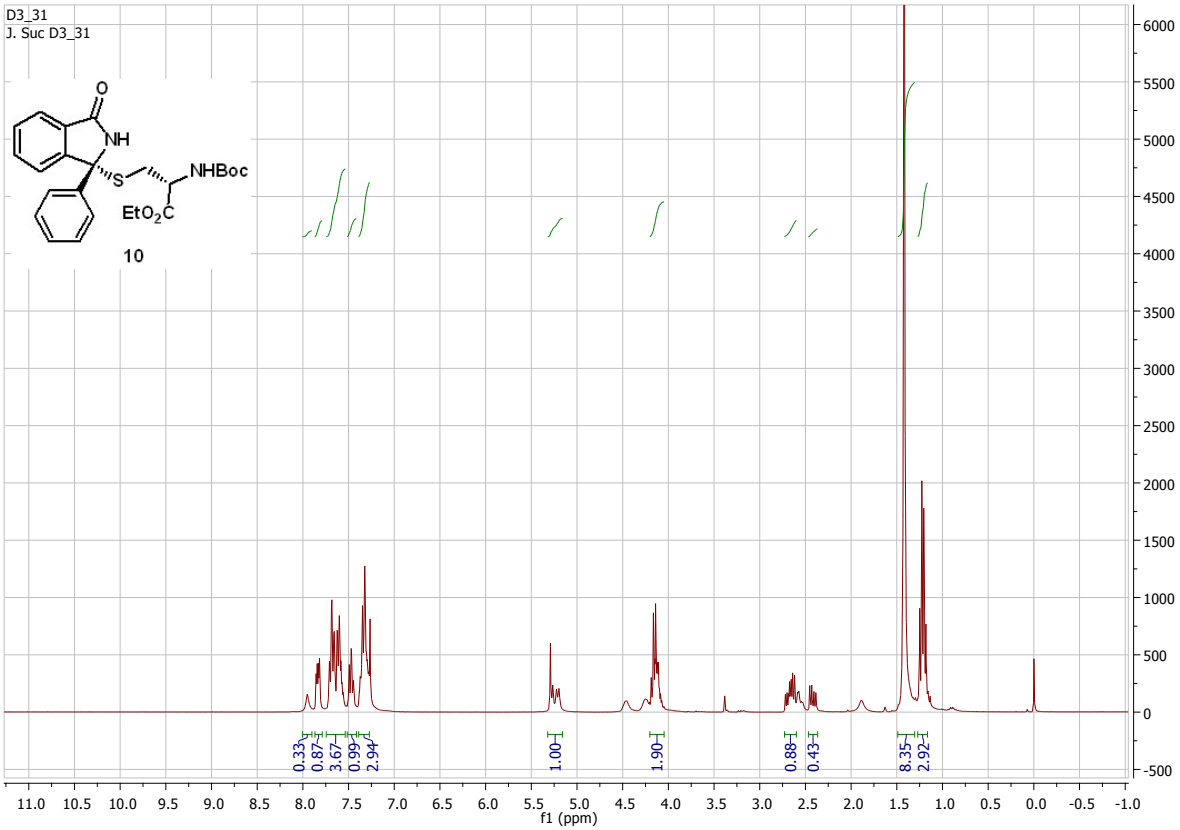

ftalimid Ph-S-(2-F)Ph
J. Suc D3_40_1
168.54
165.48
162.19
160.57
148.22
139.05
138.69
132.42
129.07
128.99
128.73
125.91
125.81
116.38
116.03
115.71
76.23
O
NH
S
F
7
f1 (ppm)

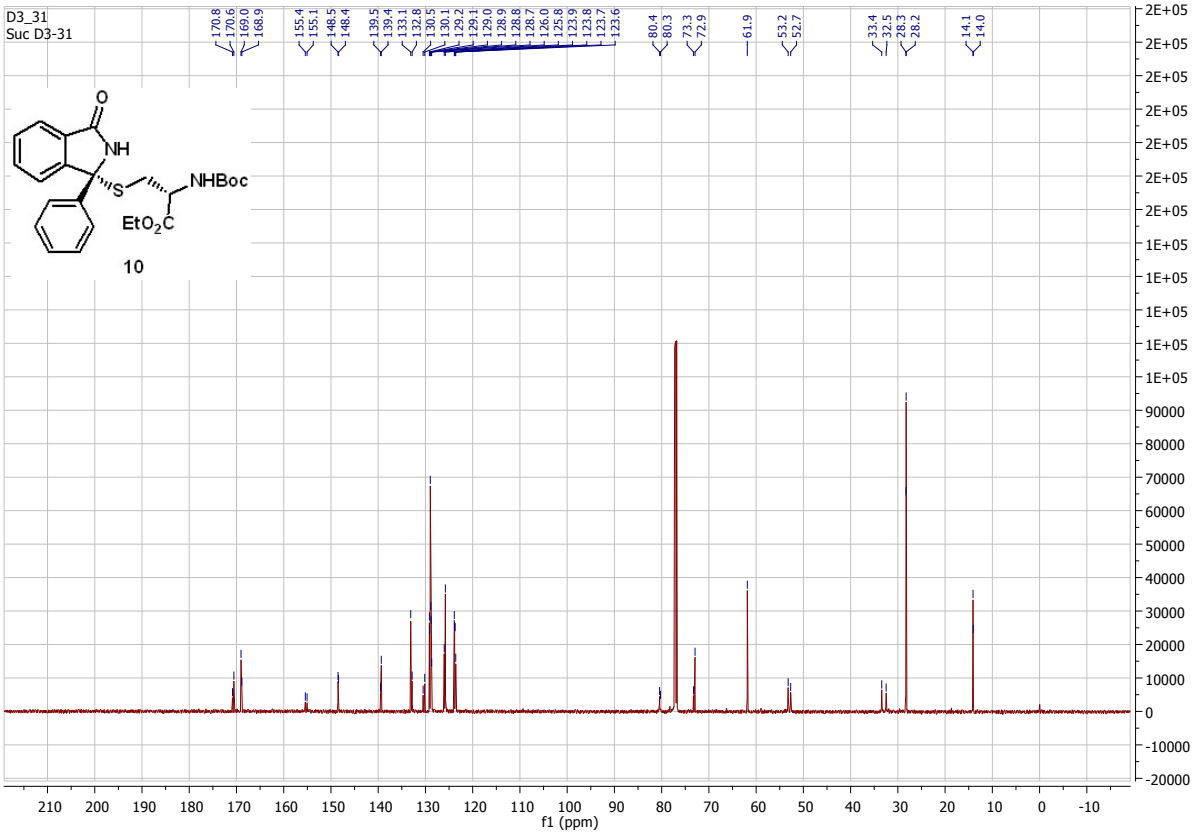

05.73 ftalimid Ph-S-iPr
Gredicak 05.73
O
NH
Me
S
Me
8
1.04
4.10
1.08
2.91
0.88
1.00
2.98
2.98
f1 (ppm)

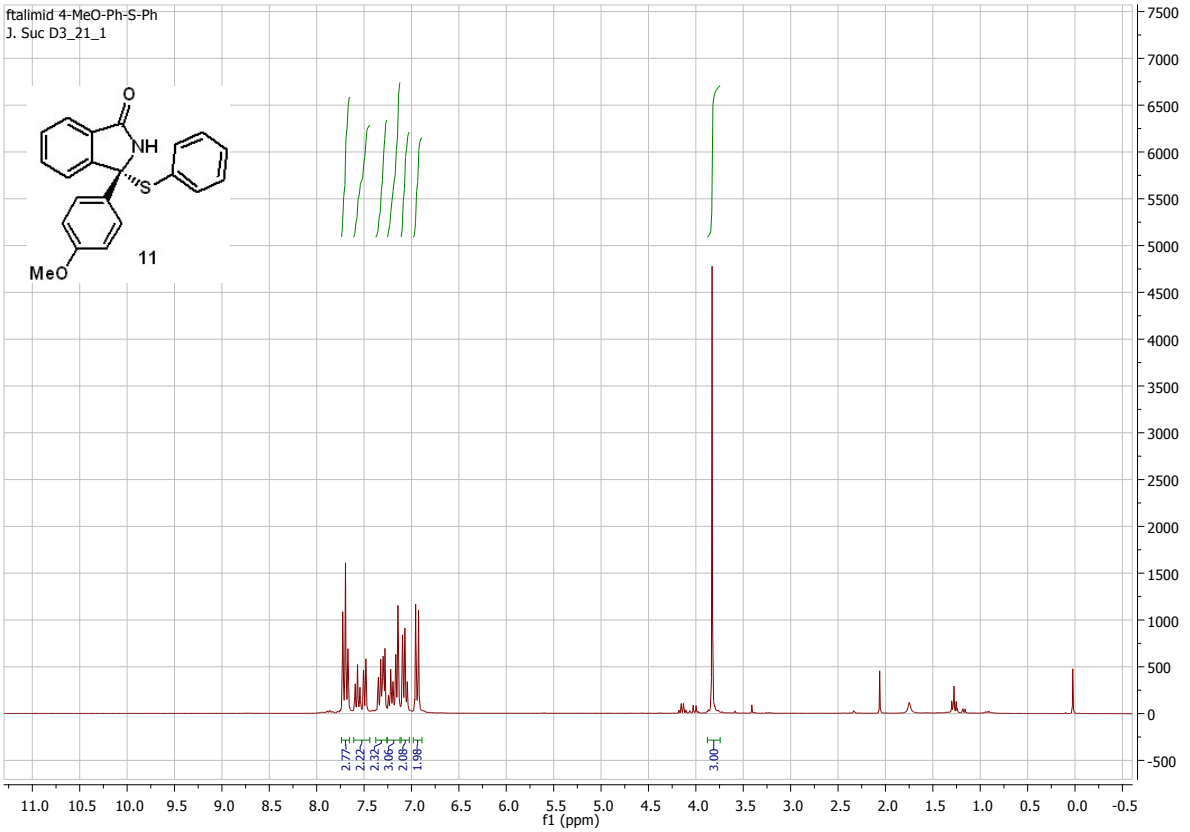

05.73 ftalimid Ph-S-iPr
Gredicak 05.73
176.61
169.03
149.46
140.18
134.23
132.75
130.02
128.87
125.62
123.98
123.80
123.56
73.34
35.02
25.05
24.44
O
NH
Me
S
Me
8
f1 (ppm)

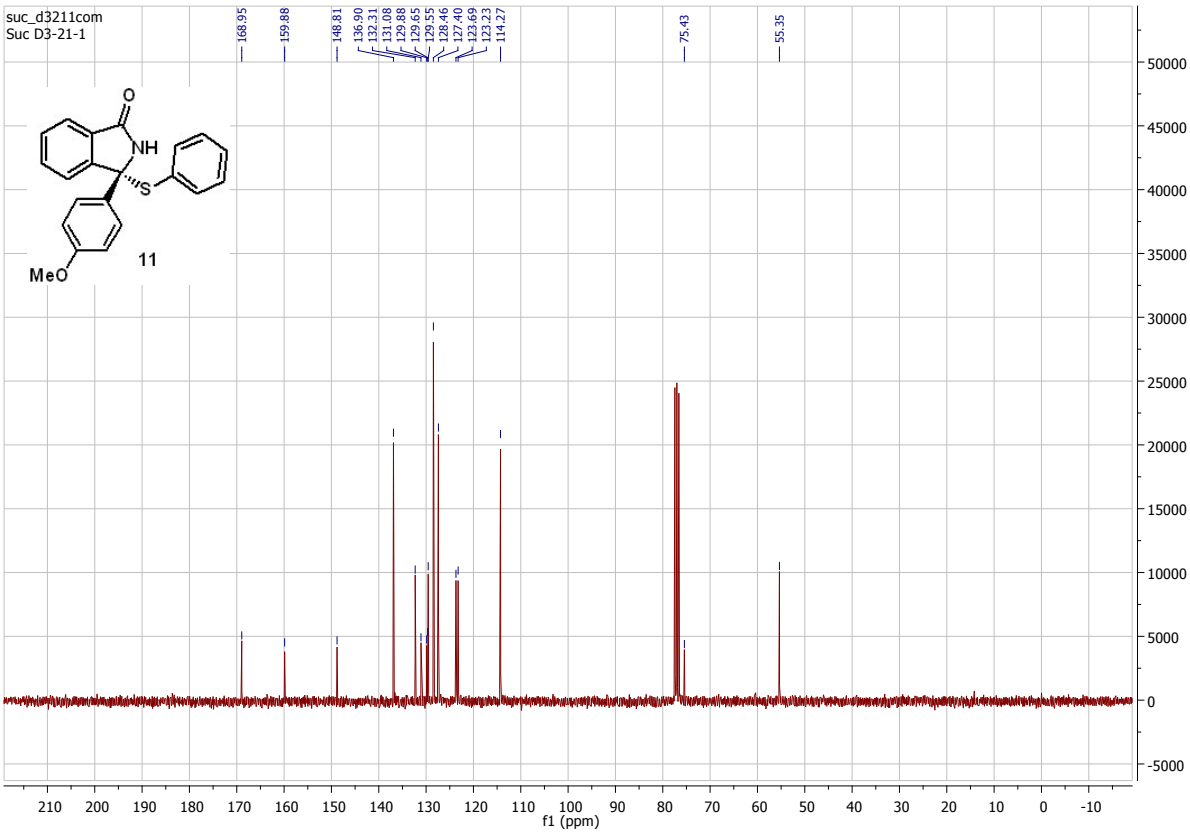

05.82 ftalimid Ph-S-nBu
Gredicak 05.82
O
NH
S
Me
9
1.00
1.94
1.91
1.05
2.79
0.92
0.95
0.98
4.30
2.93
f1 (ppm)

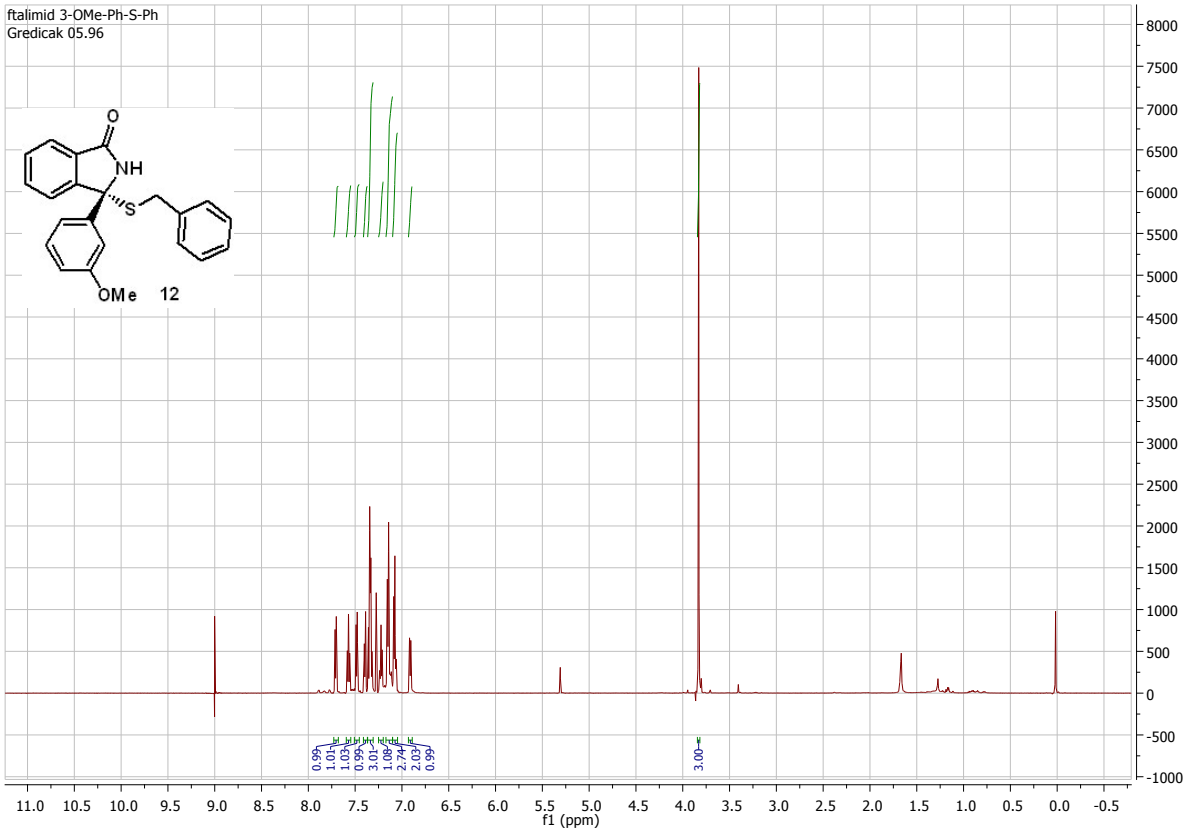

gredicak_0582c
Gredicak 05.82
169.26
149.28
139.90
134.22
132.86
130.18
128.90
128.81
128.62
125.69
123.68
73.02
30.62
29.17
22.02
13.47
O
NH
S
Me
9
f1 (ppm)

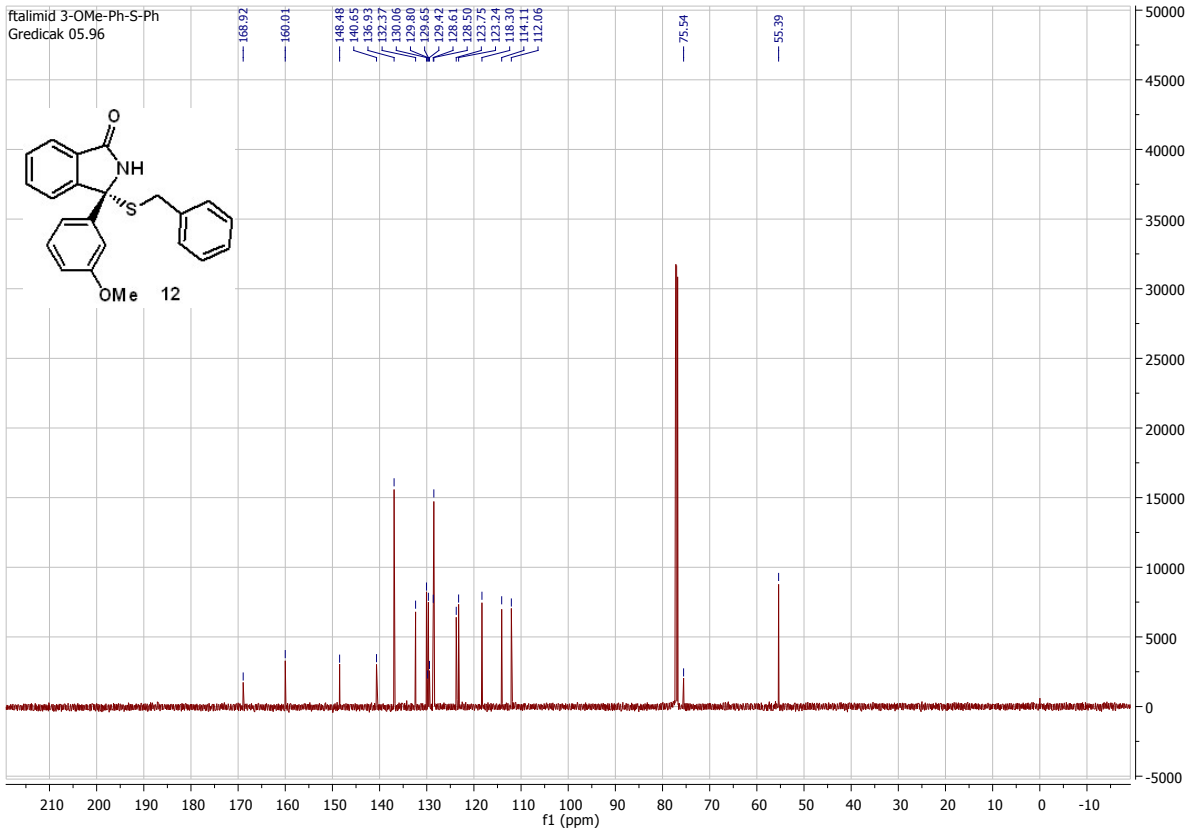

D3_31
J. Suc D3_31
O
NH
S
NHBoc
EtO2C
10
0.33
0.87
3.67
0.99
2.94
1.00
1.90
0.88
0.43
8.35
2.92
f1 (ppm)

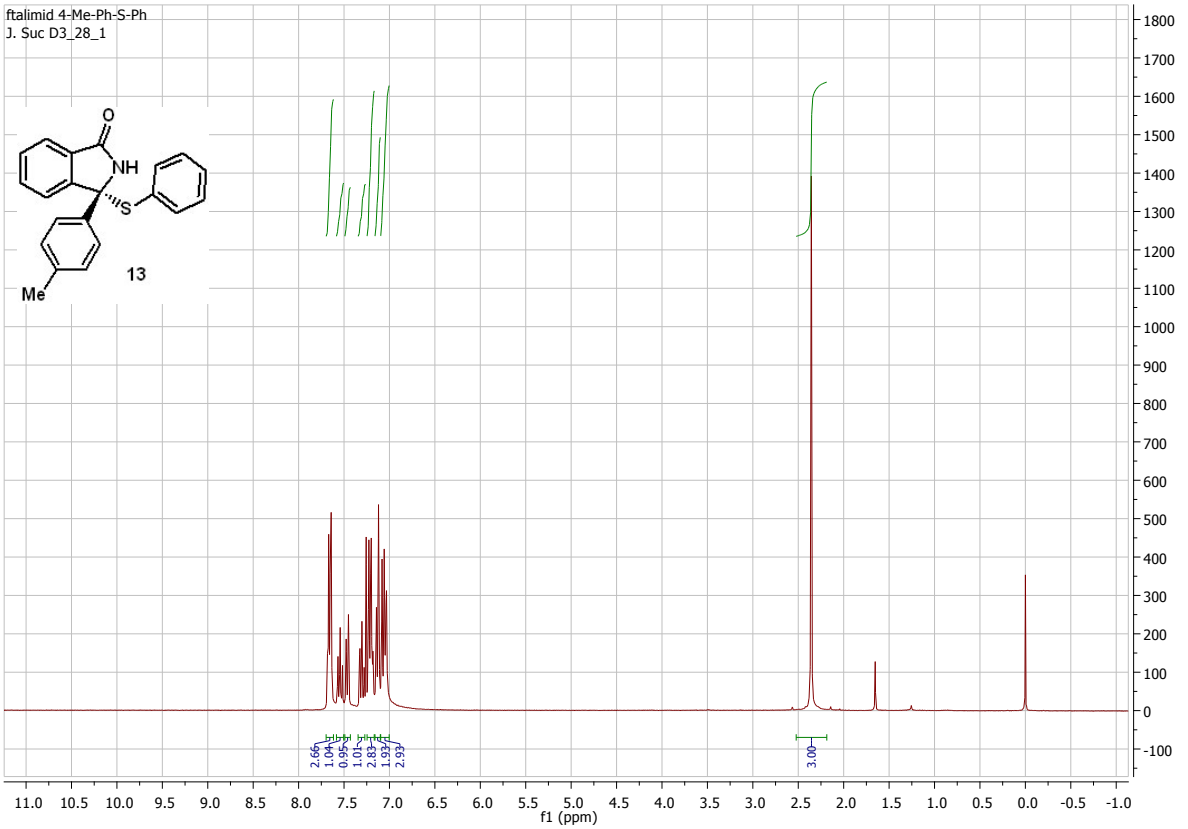

D3_31
Suc D3-31
O
NH
S
NHBoc
EtO2C
10
170.8
170.6
169.0
168.9
155.4
155.1
148.5
148.4
139.5
139.4
133.1
132.8
130.5
130.1
129.2
129.1
129.0
128.9
128.8
128.7
126.0
125.8
123.9
123.8
123.7
123.6
80.4
80.3
73.3
72.9
61.9
53.2
52.7
33.4
32.5
28.3
28.2
14.1
14.0
f1 (ppm)

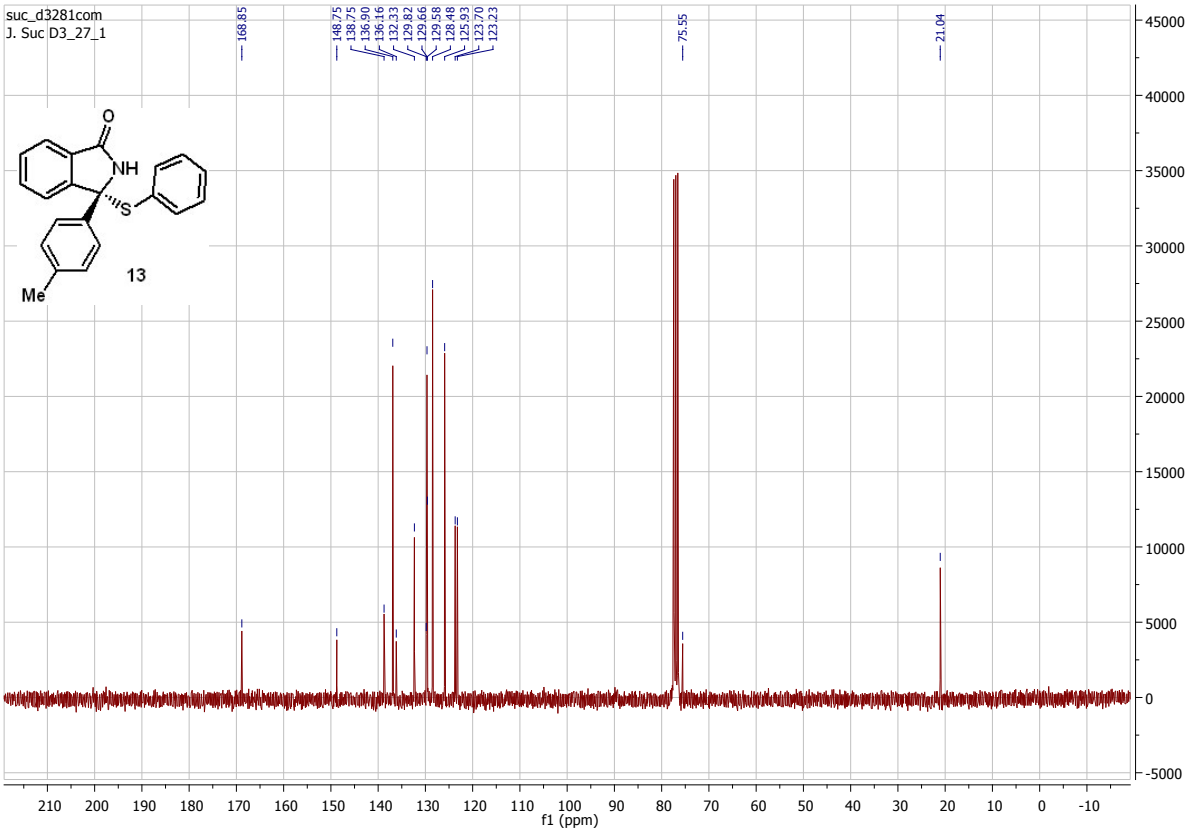

ftalimid 4-MeO-Ph-S-Ph
J. Suc D3_21_1
O
NH
S
MeO
11
2.77
2.22
2.32
3.06
2.08
1.98
3.00
f1 (ppm)

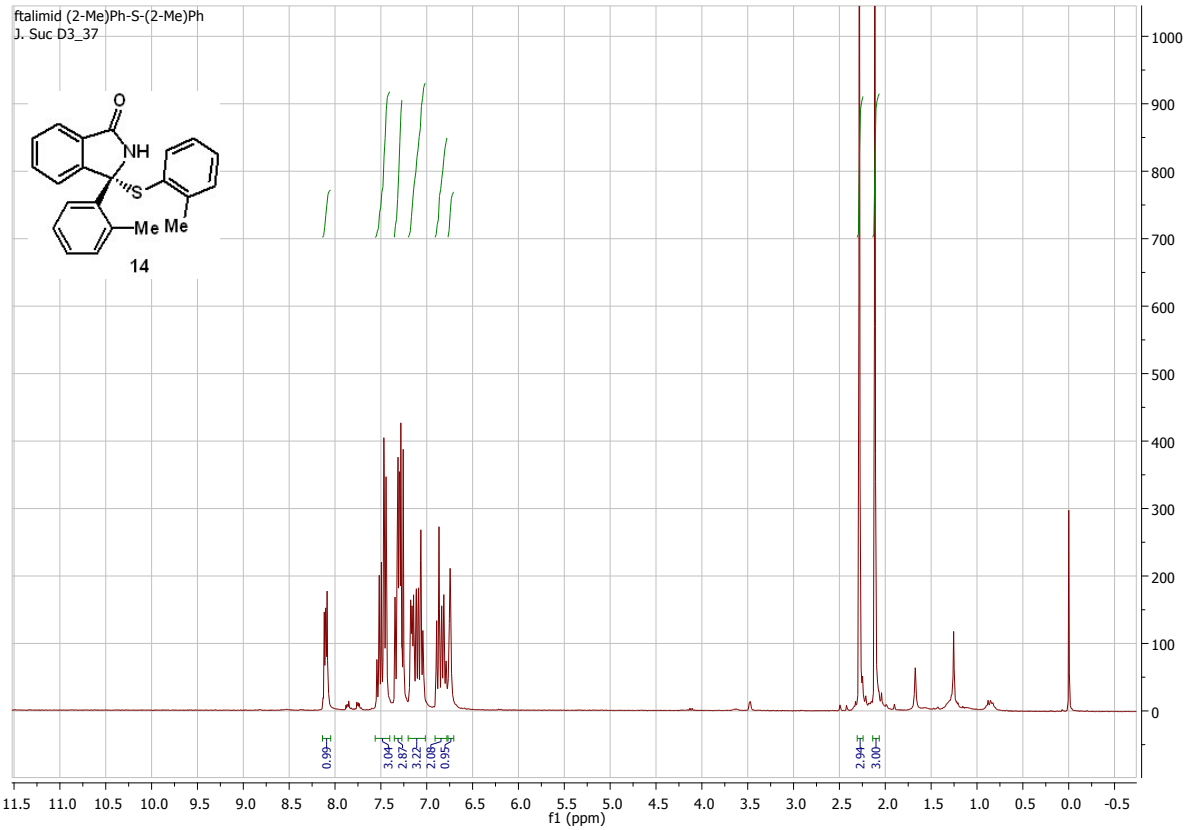

suc_d3211com
Suc D3-21-1
168.95
159.88
148.81
136.90
132.31
131.08
129.88
129.65
129.55
128.46
127.40
123.69
123.23
114.27
75.43
55.35
O
NH
S
MeO
11
f1 (ppm)

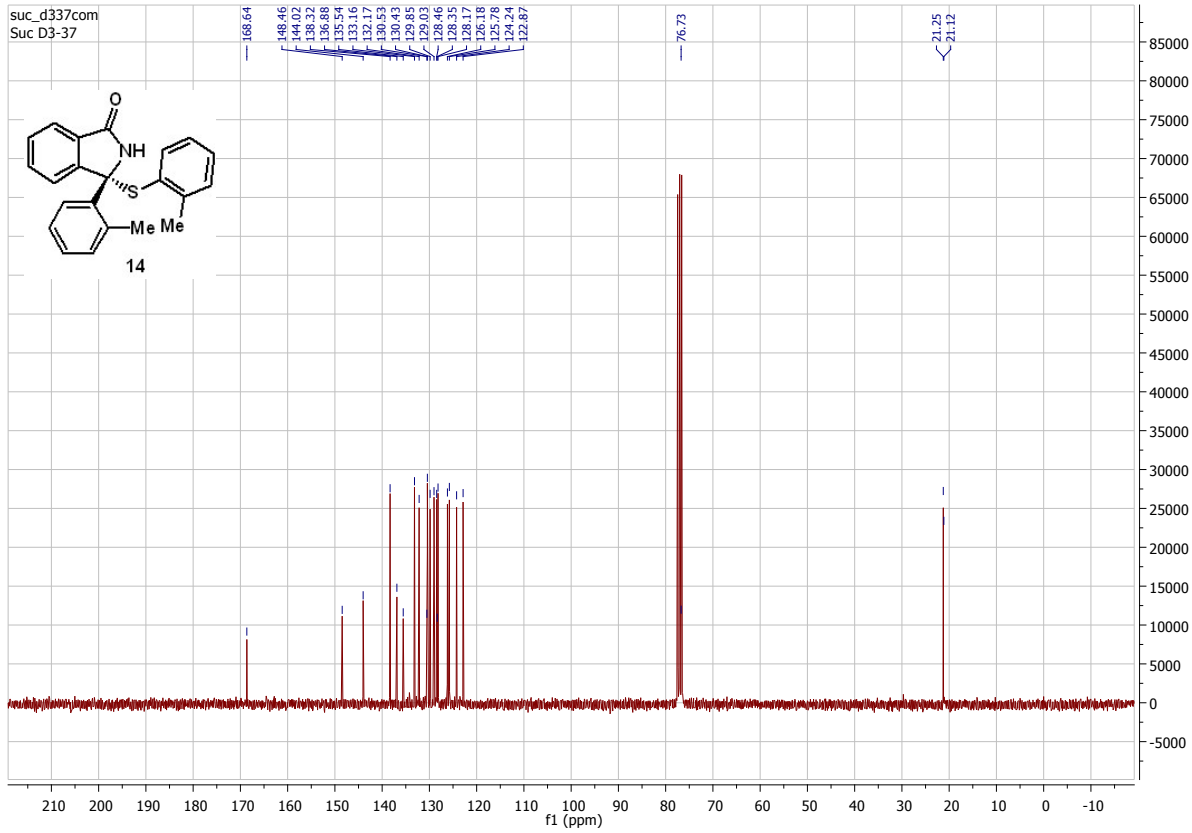

ftalimid 3-OMe-Ph-S-Ph
Gredicak 05.96
O
NH
S
OMe
12
0.99
1.01
1.03
0.99
3.01
1.08
2.74
2.03
0.99
3.00
f1 (ppm)

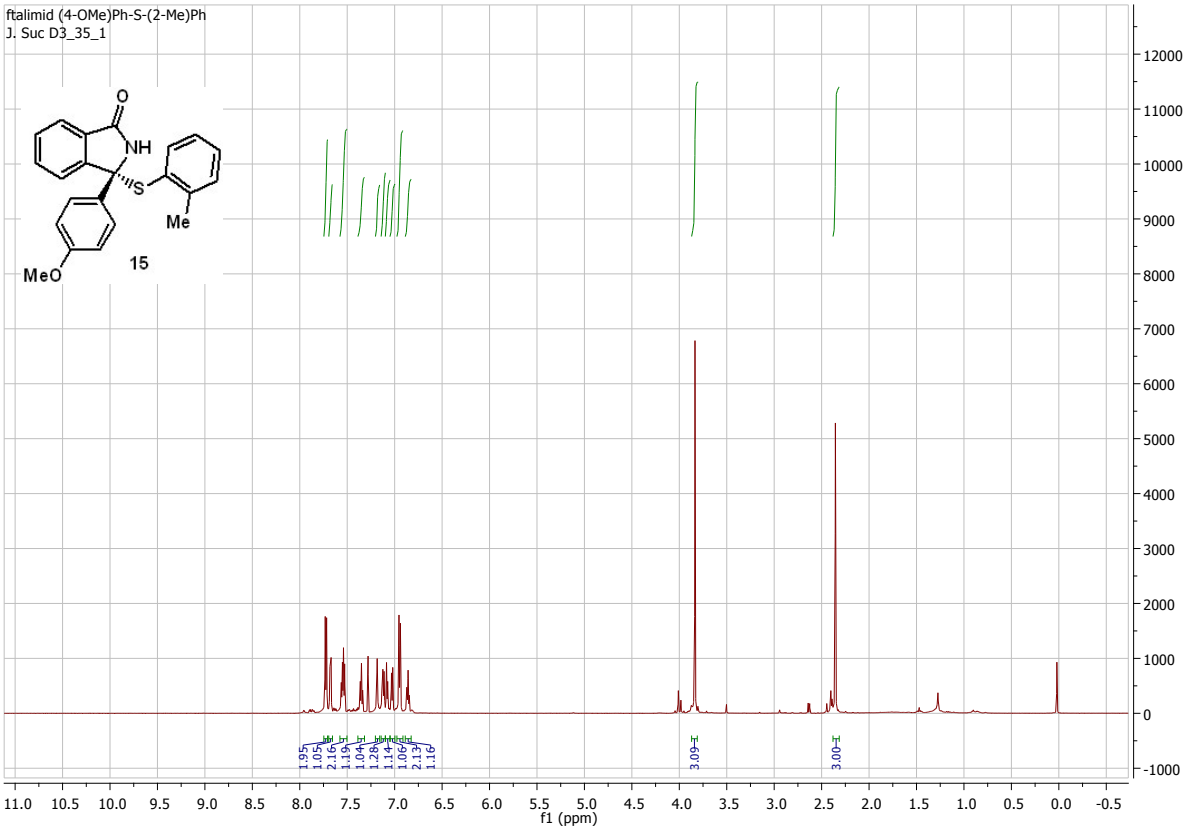

ftalimid 3-OMe-Ph-S-Ph
Gredicak 05.96
168.92
160.01
148.48
140.65
136.93
132.37
130.06
129.80
129.65
129.42
128.61
128.50
123.75
123.24
118.30
114.11
112.06
75.54
55.39
O
NH
S
OMe
12
f1 (ppm)

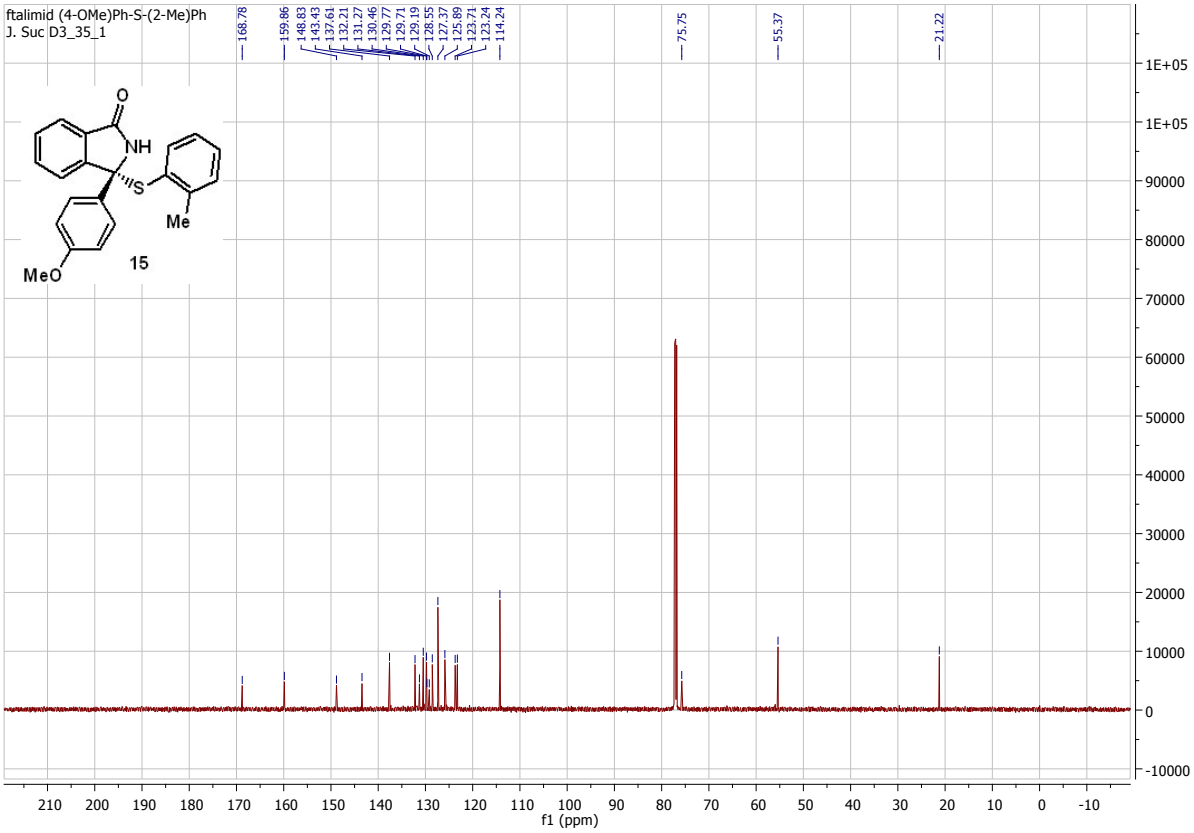

ftalimid 4-Me-Ph-S-Ph
J. Suc D3_28_1
O
NH
S
Me
13
2.66
1.04
0.95
1.01
2.83
1.92
2.93
3.00
f1 (ppm)

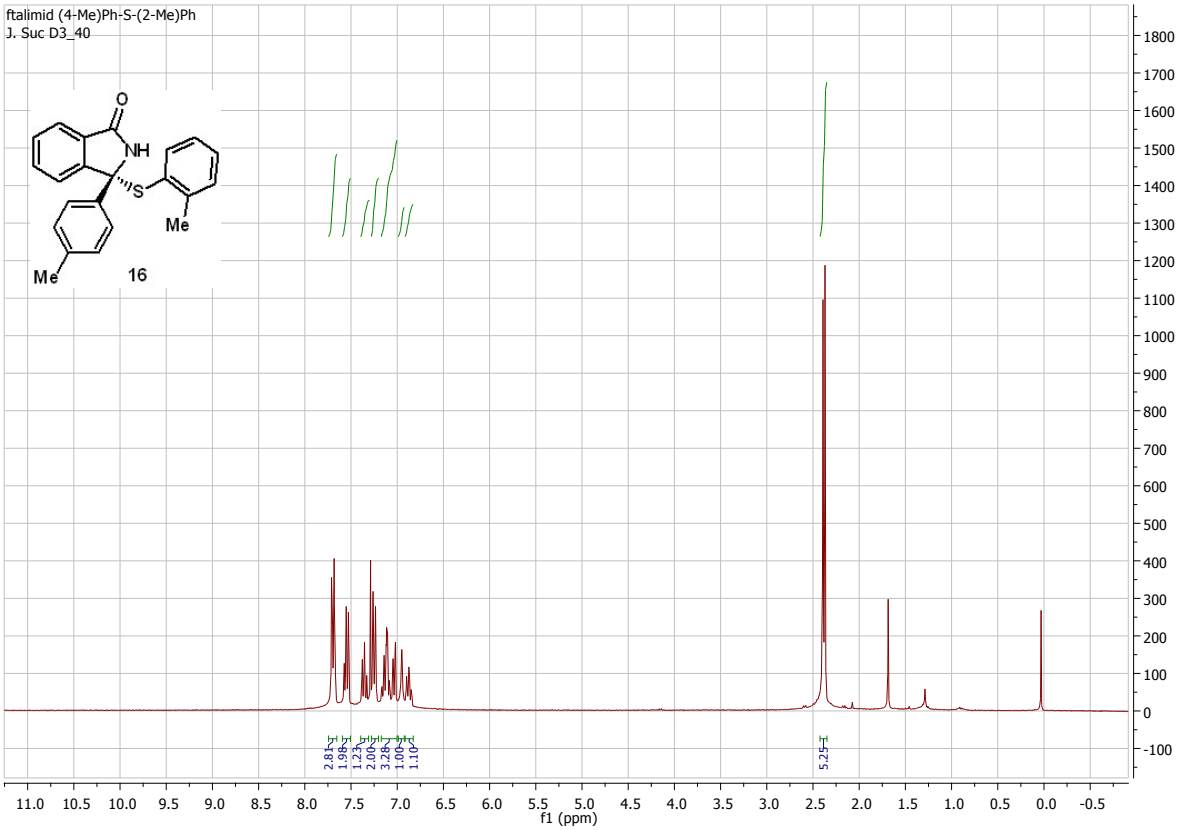

suc_d3281com
J. Suc D3_27_1
O
NH
S
Me
13
168.85
148.75
138.75
136.90
136.16
132.33
129.82
129.66
129.58
128.48
125.93
123.70
123.23
75.55
21.04
f1 (ppm)

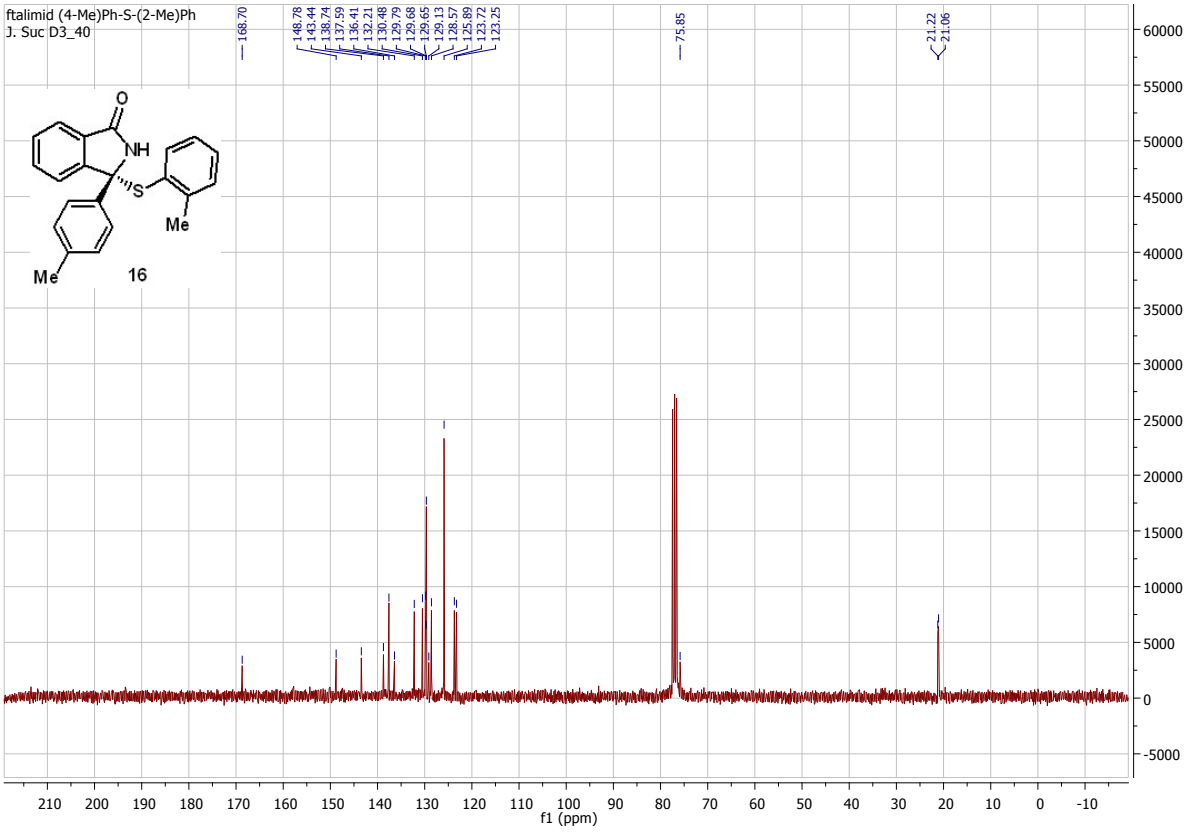

ftalimid (2-Me)Ph-S-(2-Me)Ph
J. Suc D3_37
O
NH
S
Me Me
14
0.99
3.04
2.87
3.22
2.08
0.95
2.94
3.00
f1 (ppm)

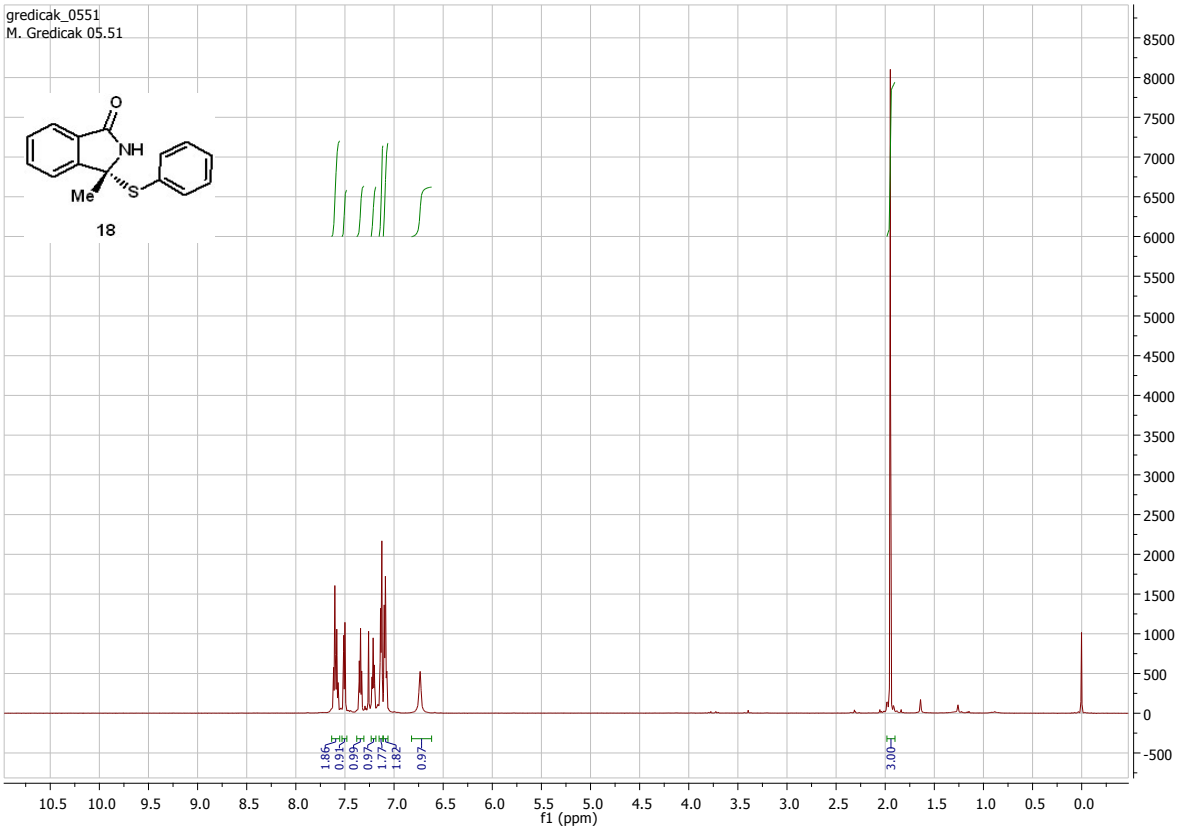

suc_d337com
Suc D3-37
168.64
148.46
144.02
138.32
136.88
135.54
133.16
132.17
130.53
130.43
129.85
129.03
128.46
128.35
128.17
126.18
125.78
124.24
122.87
76.73
21.25
21.12
O
NH
S
Me Me
14
f1 (ppm)

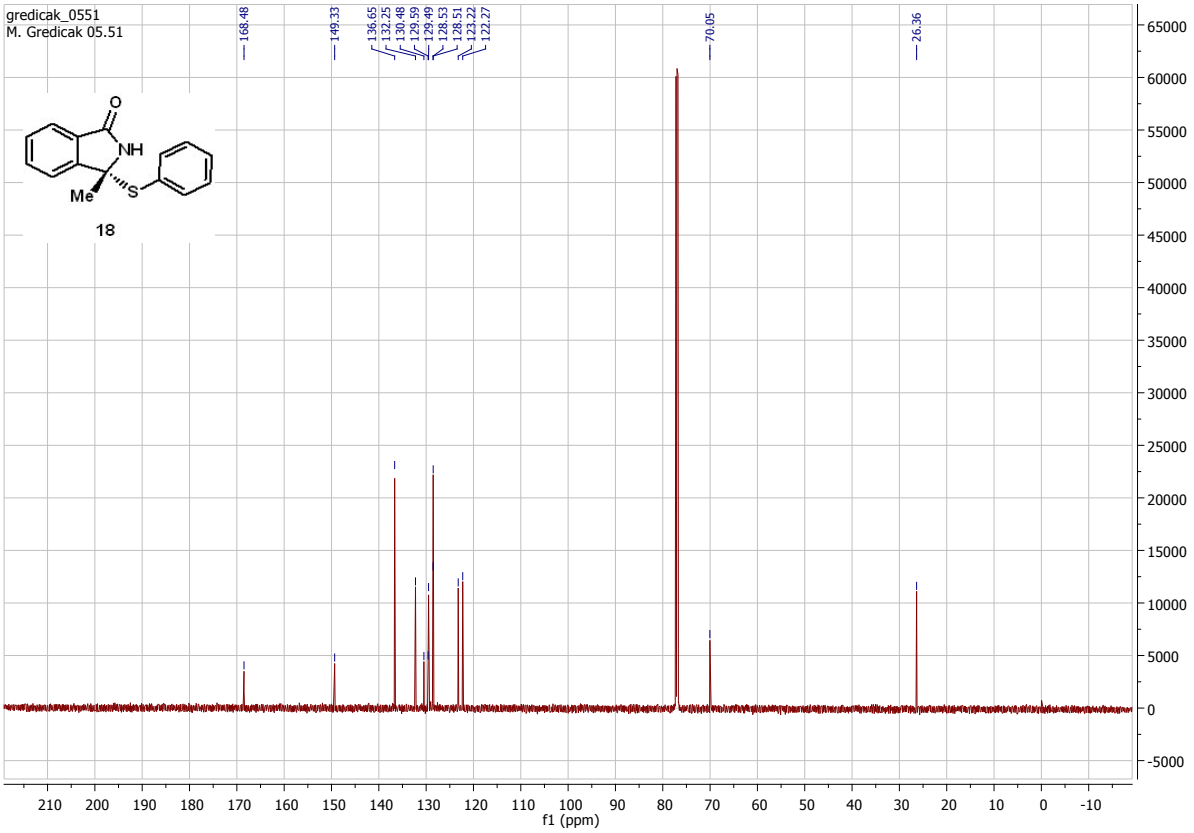

ftalimid (4-OMe)Ph-S-(2-Me)Ph
J. Suc D3_35_1
O
NH
S
Me
MeO
15
1.95
1.05
2.16
1.19
1.04
1.28
1.14
1.06
2.13
1.16
3.09
3.00
f1 (ppm)

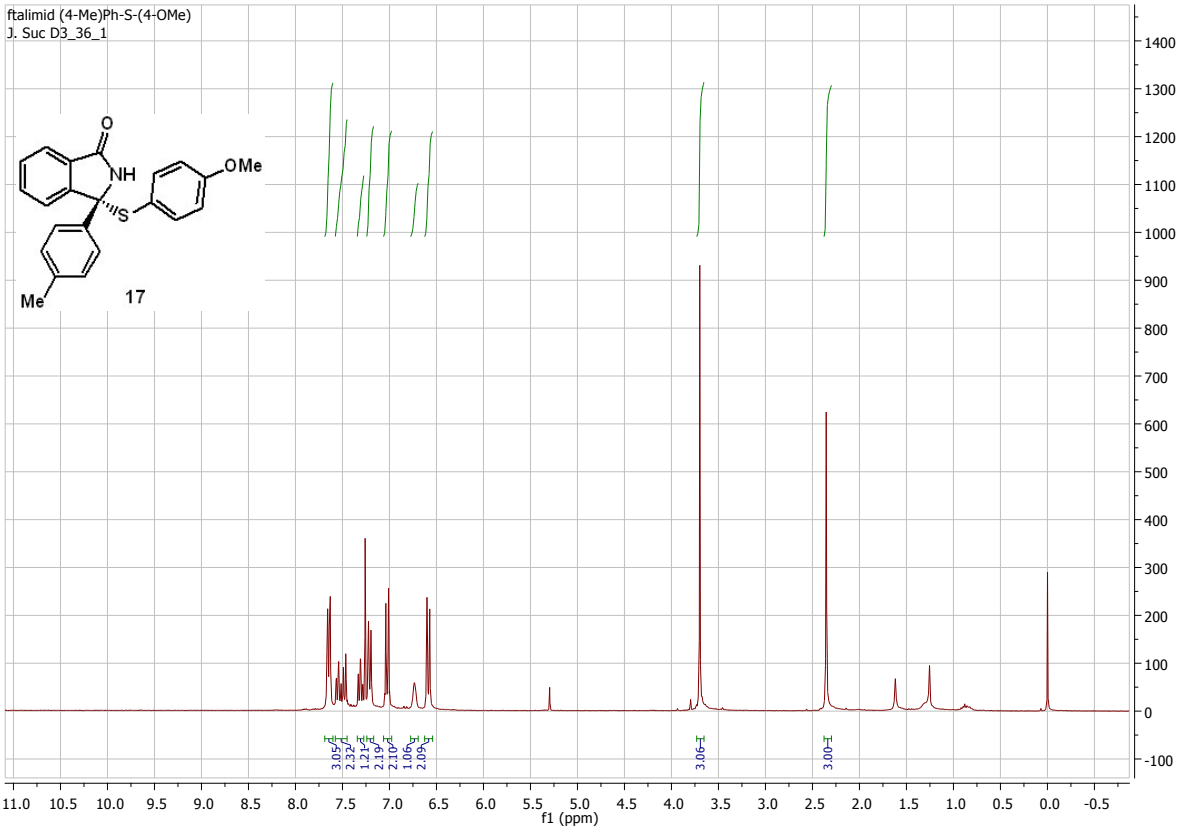

ftalimid (4-OMe)Ph-S-(2-Me)Ph
J. Suc D3_35_1
168.78
159.86
148.83
143.43
137.61
132.21
131.27
130.46
129.77
129.71
129.19
128.55
127.37
125.89
123.71
123.24
114.24
75.75
55.37
21.22
O
NH
S
Me
MeO
15
f1 (ppm)

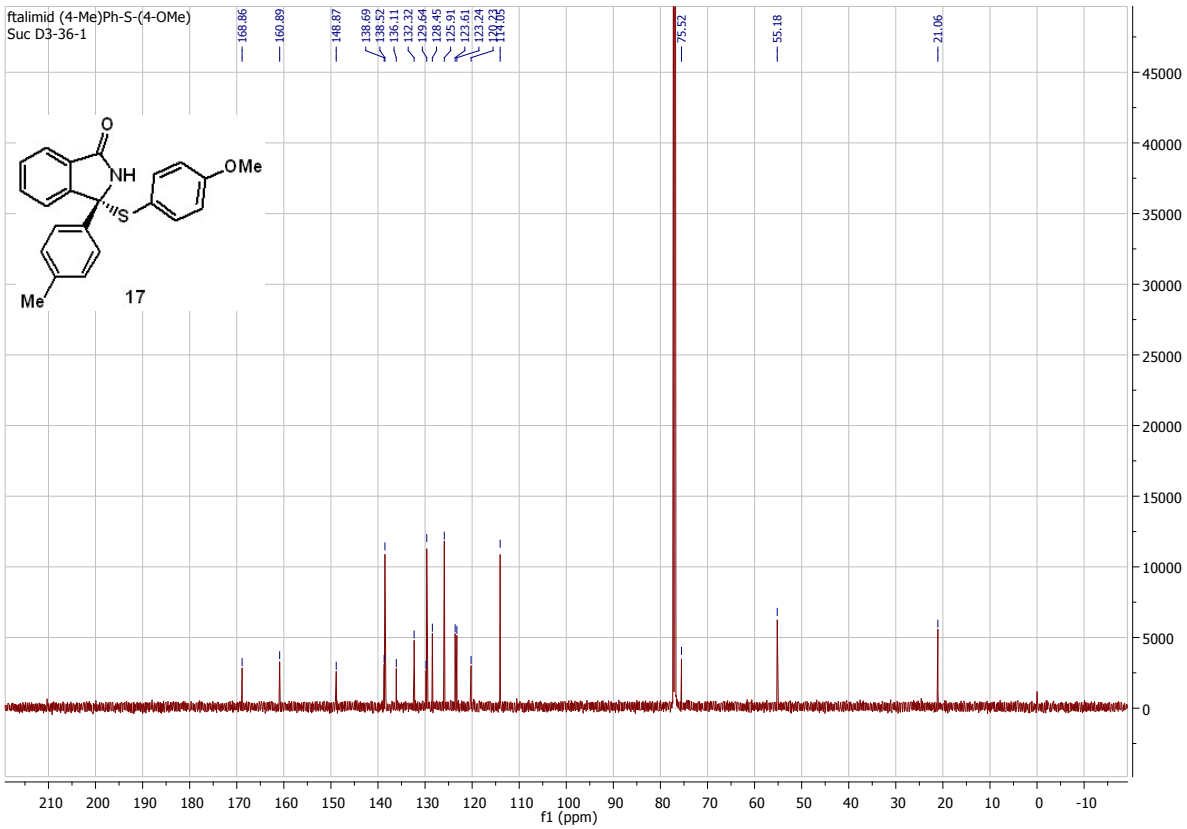

ftalimid (4-Me)Ph-S-(2-Me)Ph
J. Suc D3_40
O
NH
S
Me
Me
16
2.81
1.98
1.25
2.00
3.28
1.00
1.10
5.25
f1 (ppm)

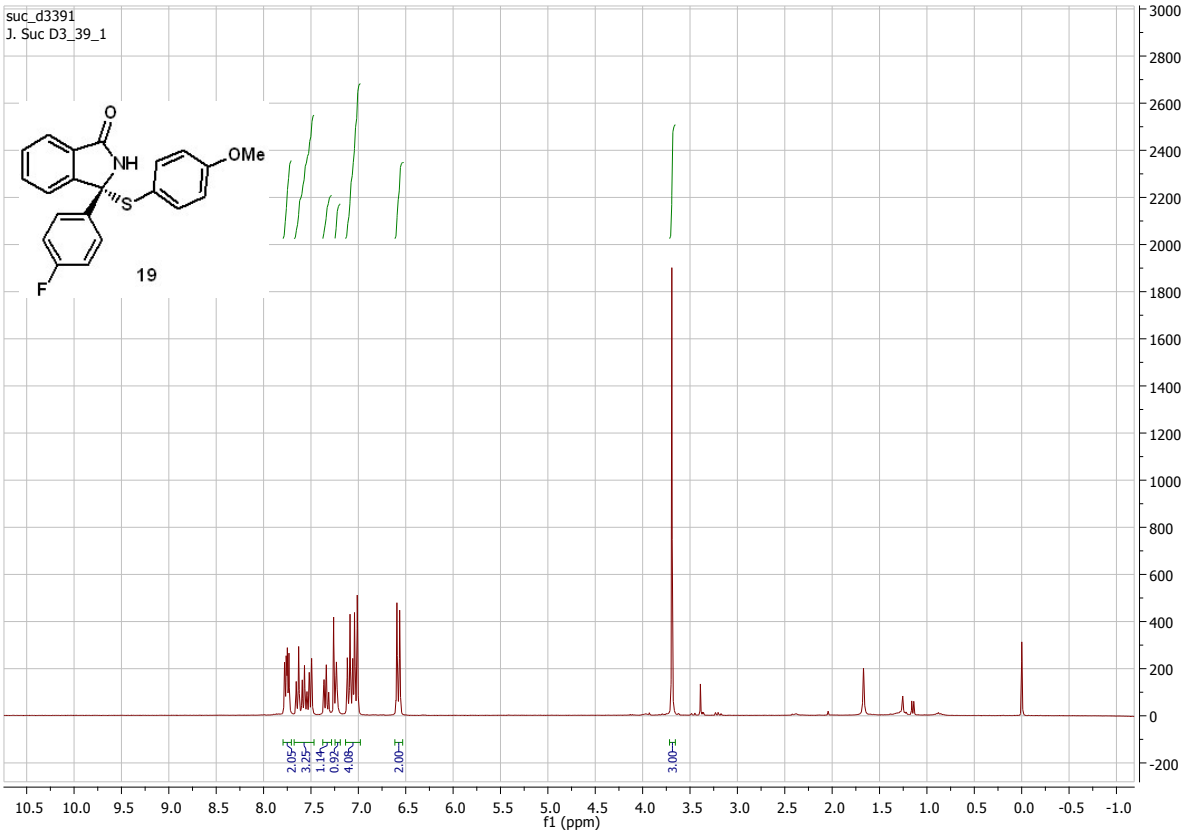

ftalimid (4-Me)Ph-S-(2-Me)Ph
J. Suc D3_40
168.70
148.78
143.44
138.74
137.59
136.41
132.21
130.48
129.79
129.68
129.65
129.13
128.57
125.89
123.72
123.25
75.85
21.22
21.06
O
NH
S
Me
Me
16
f1 (ppm)

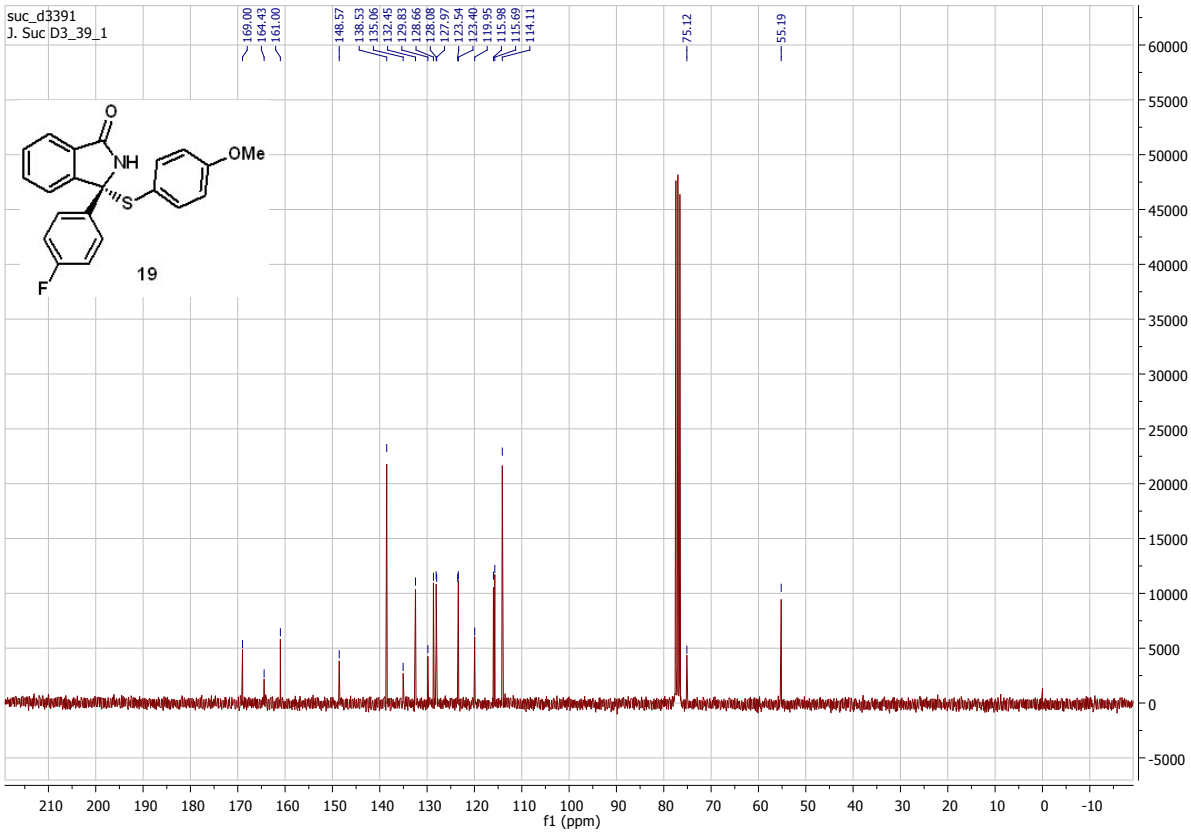

gredicak_0551
M. Gredicak 05.51
O
NH
Me
S
18
1.86
0.91
0.99
0.97
1.77
1.82
0.97
3.00
f1 (ppm)

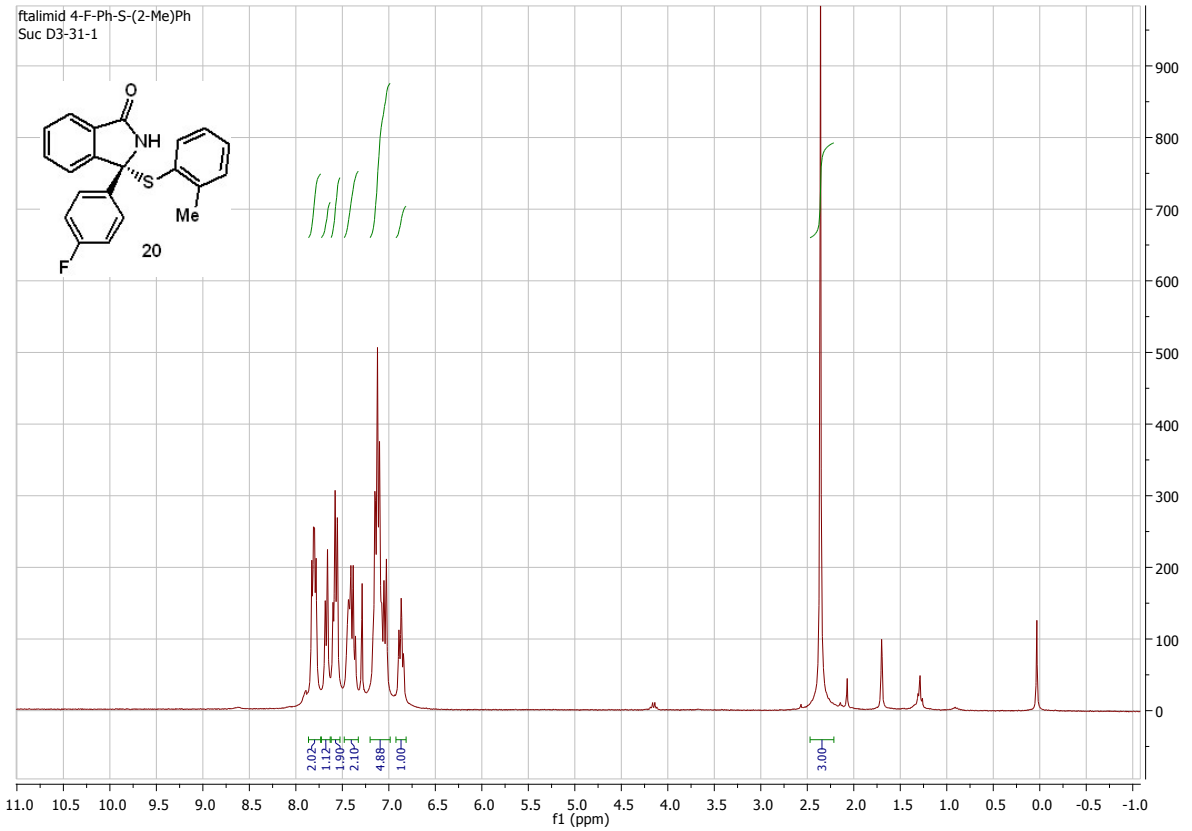

gredicak_0551
M. Gredicak 05.51
168.48
149.33
136.65
132.25
130.48
129.59
129.49
128.53
128.51
123.22
122.27
70.05
26.36
O
NH
Me
S
18
f1 (ppm)

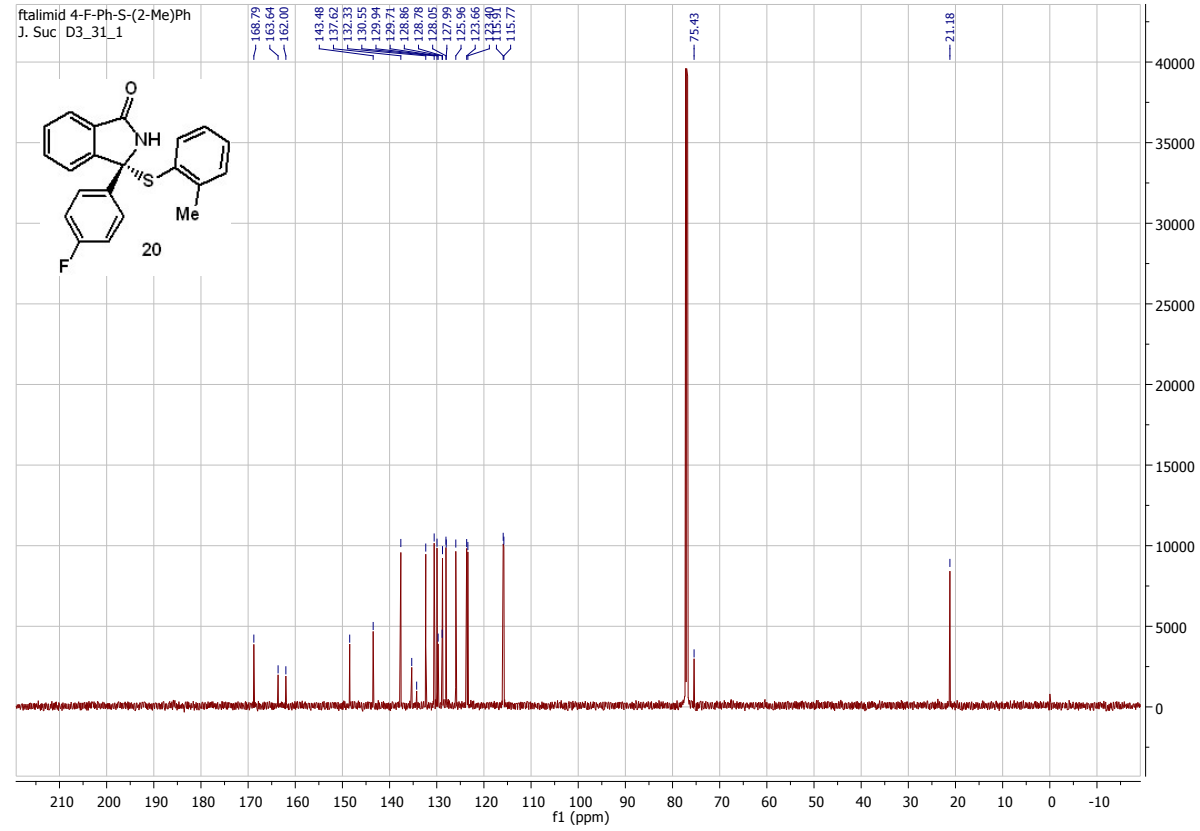

ftalimid (4-Me)Ph-S-(4-OMe)
J. Suc D3_36_1
O
NH
S
OMe
Me
17
3.05
2.32
1.21
2.19
2.10
1.06
2.09
3.06
3.00
f1 (ppm)

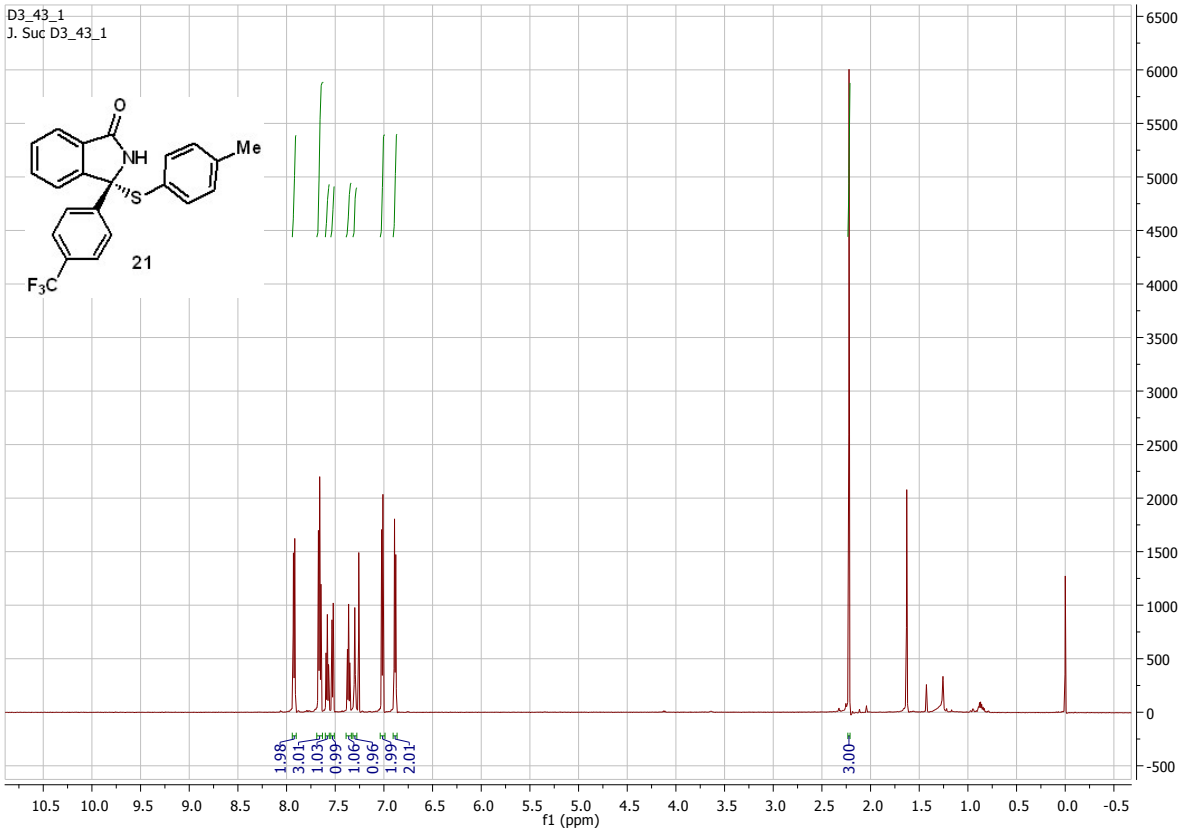

ftalimid (4-Me)Ph-S-(4-OMe)
Suc D3-36-1
168.86
160.89
148.87
138.69
138.52
136.11
132.32
129.64
128.45
125.91
123.61
123.24
120.23
114.05
75.52
55.18
21.06
O
NH
S
OMe
Me
17
f1 (ppm)

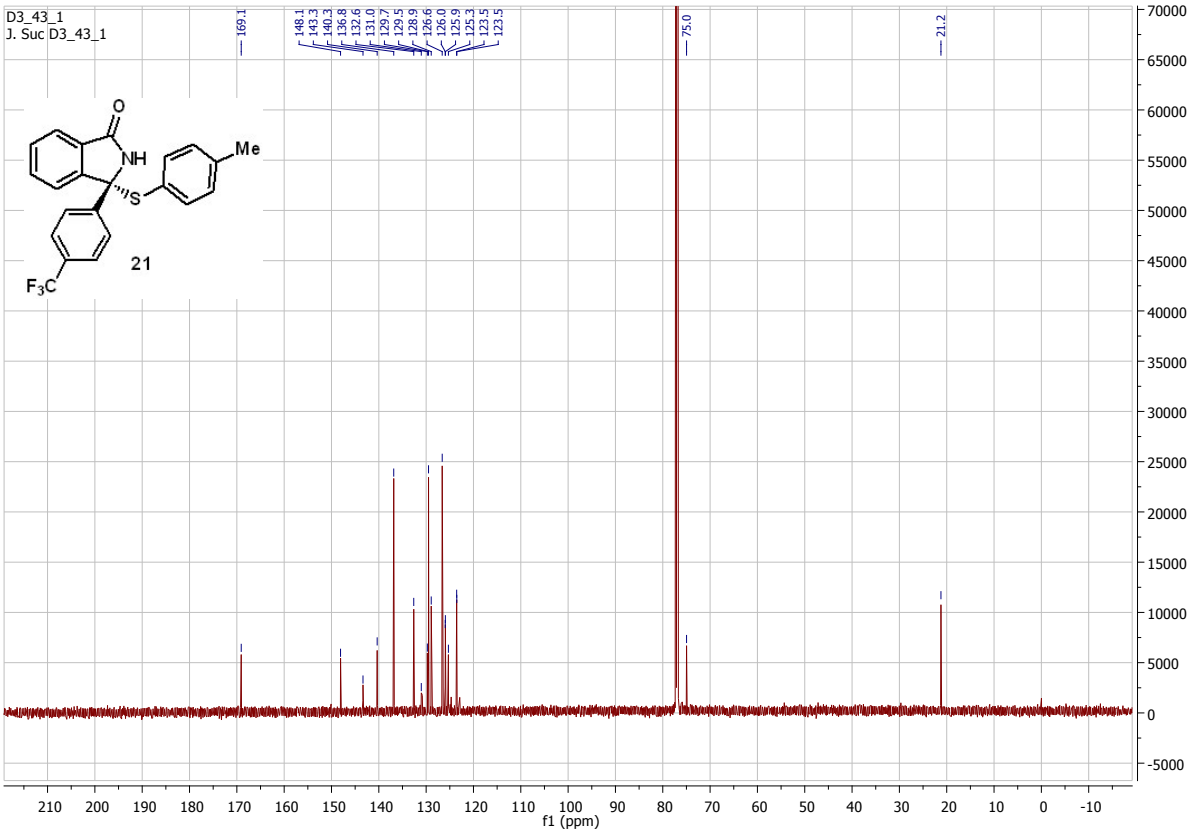

suc_d3391
J. Suc D3_39_1
O
NH
S
OMe
F
19
2.05
3.25
1.14
0.92
4.08
2.00
3.00
f1 (ppm)

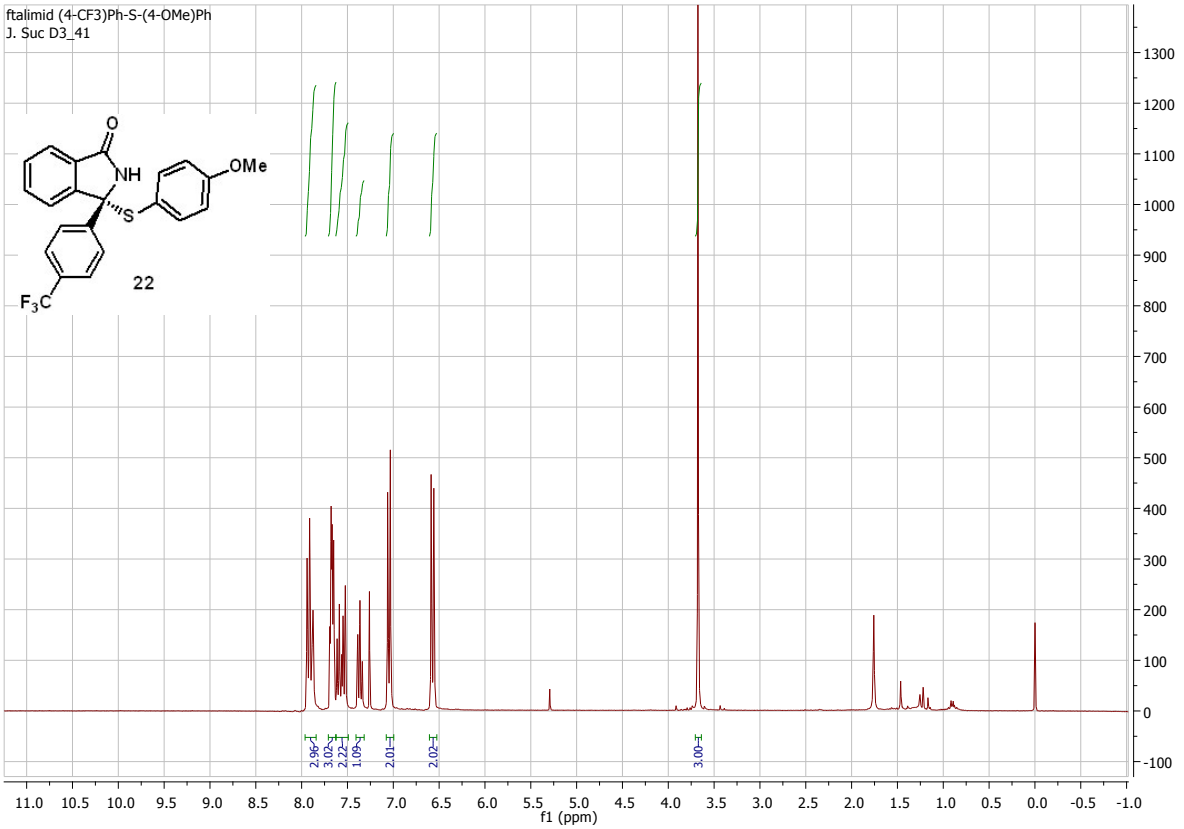

suc_d3391
J. Suc D3_39_1
169.00
164.43
161.00
148.57
138.53
135.06
132.45
129.83
128.66
128.08
127.97
123.54
123.40
119.95
115.98
115.69
114.11
75.12
55.19
O
NH
S
OMe
F
19
f1 (ppm)

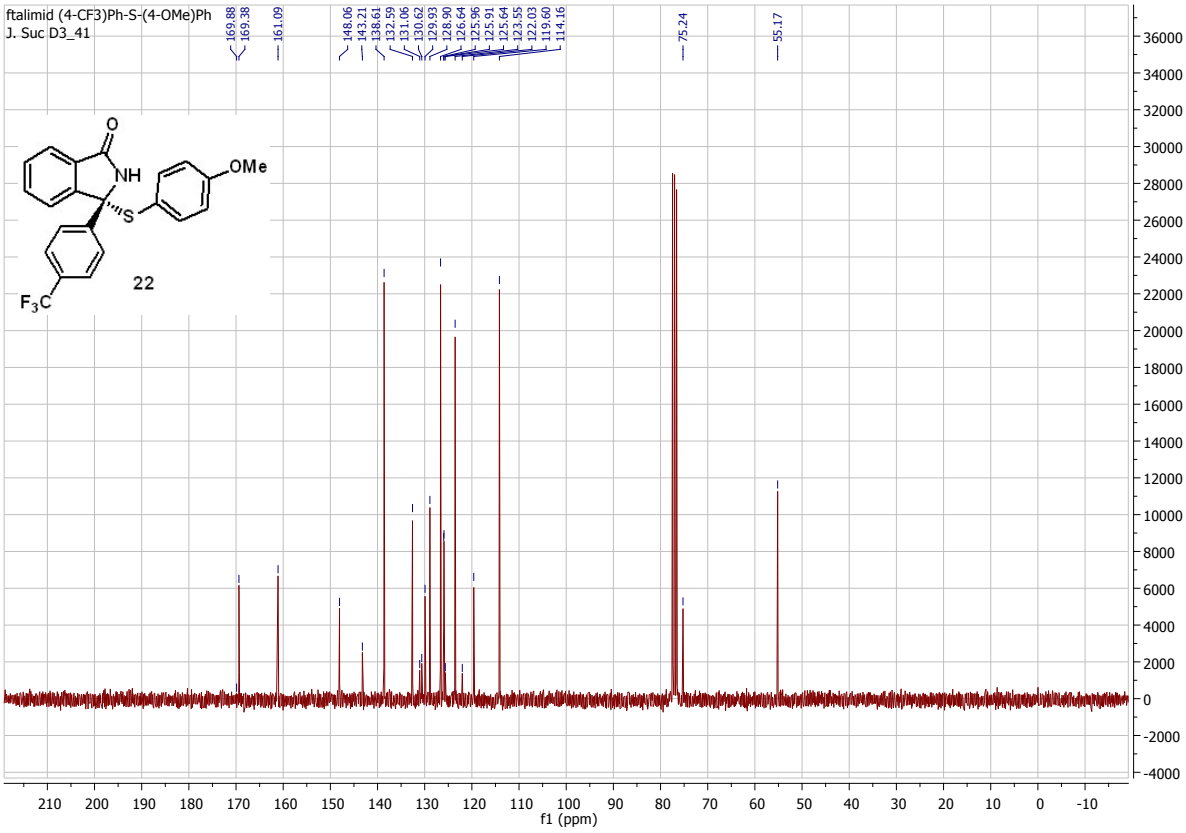

ftalimid 4-F-Ph-S-(2-Me)Ph
Suc D3-31-1
O
NH
S
Me
F
20
2.02
1.12
1.90
2.10
4.88
1.00
3.00
f1 (ppm)

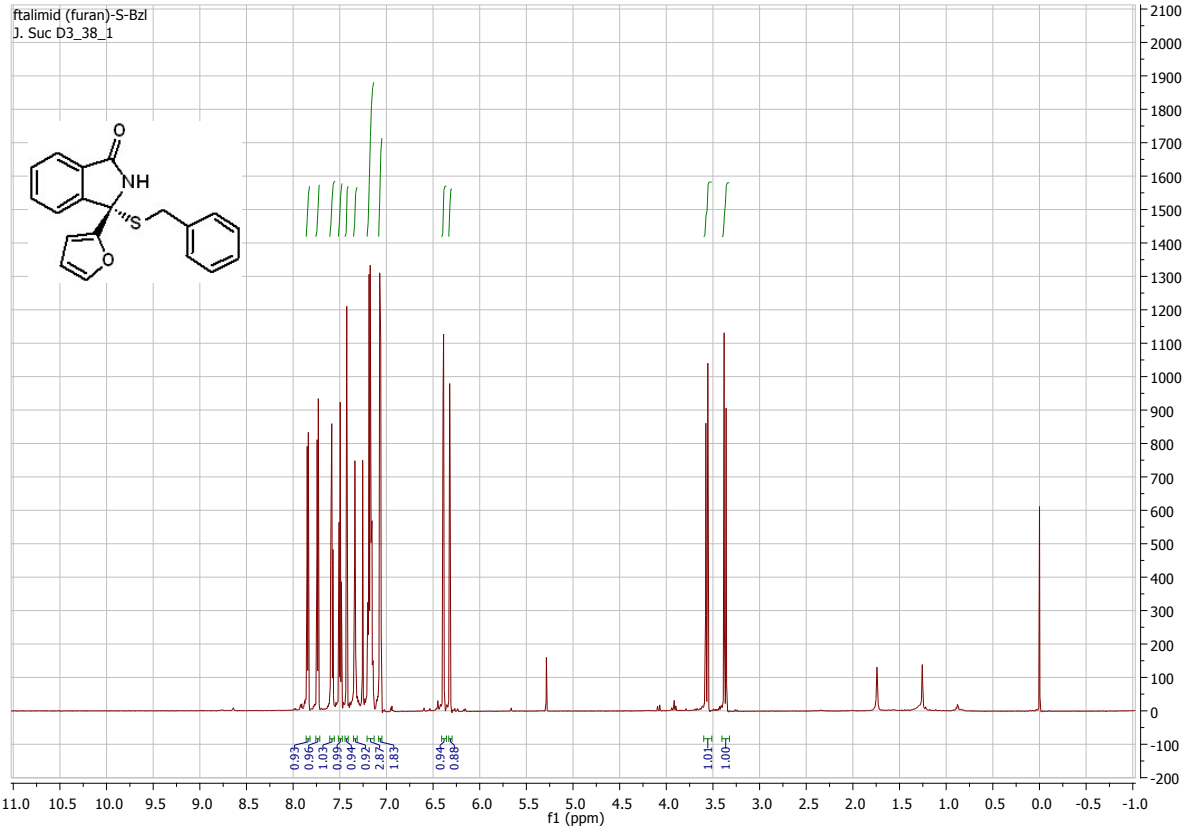

ftalimid 4-F-Ph-S-(2-Me)Ph
J. Suc D3_31_1
168.79
163.64
162.00
143.48
137.62
132.33
130.55
129.94
129.71
128.86
128.78
128.05
127.99
125.96
123.66
123.40
115.91
115.77
75.43
21.18
O
NH
S
Me
F
20
f1 (ppm)

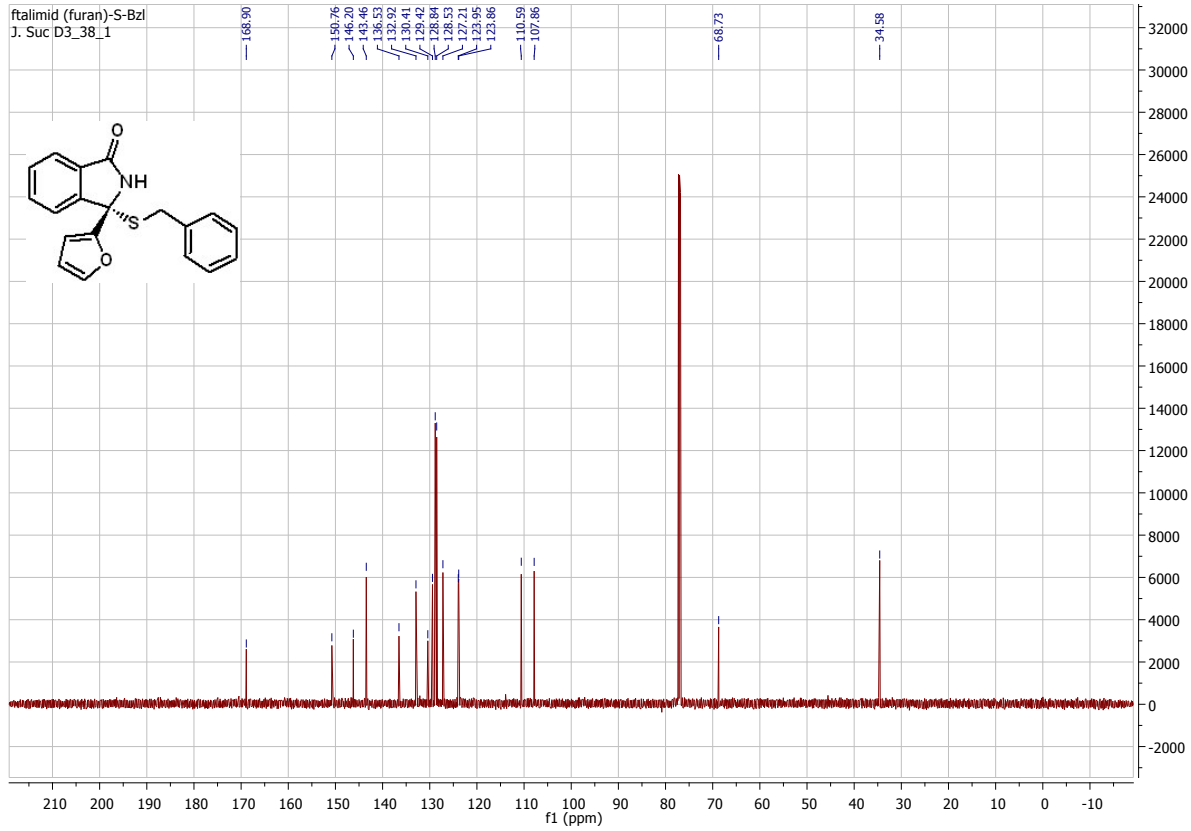

D3_43_1
J. Suc D3_43_1
O
NH
S
Me
21
F3C
1.98
3.01
1.03
0.99
1.06
0.96
1.99
2.01
3.00
f1 (ppm)

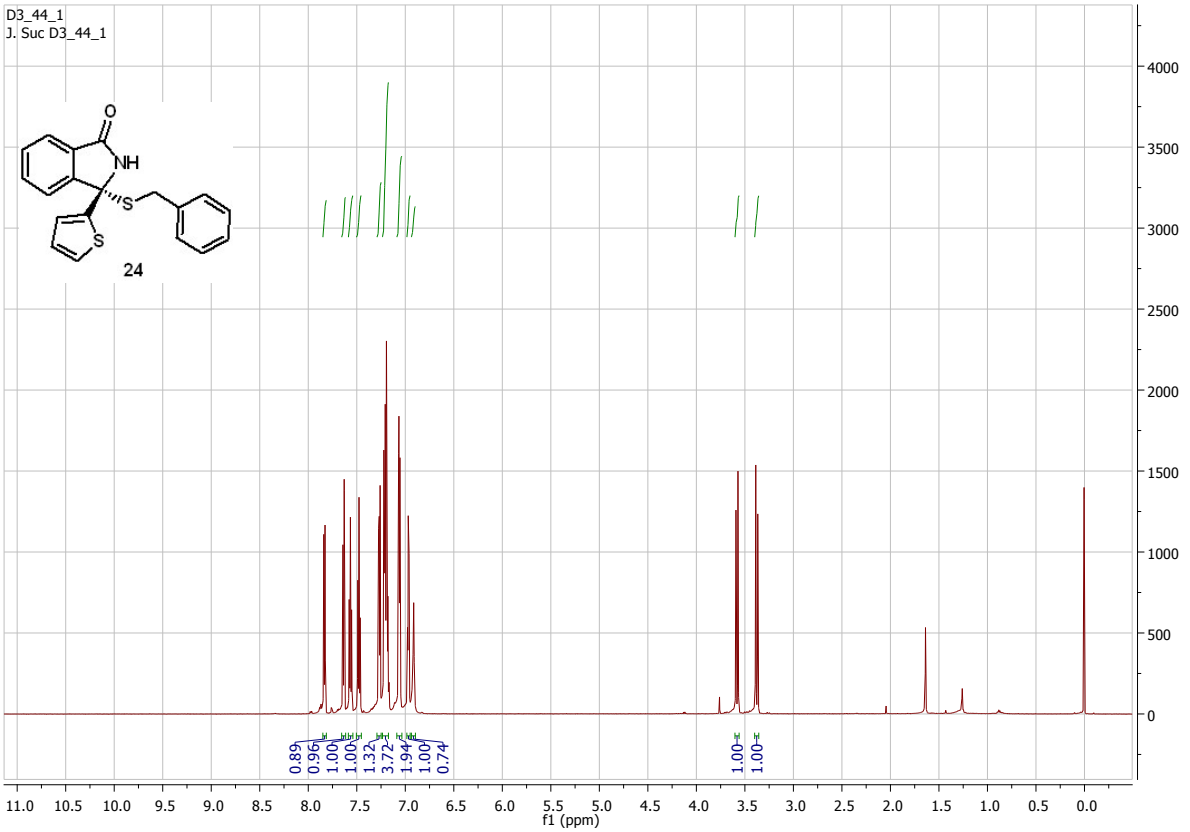

D3_43_1
J. Suc D3_43_1
169.1
148.1
143.3
140.3
136.8
132.6
131.0
129.7
129.5
128.9
126.6
126.0
125.9
125.3
123.5
123.5
75.0
21.2
O
NH
S
Me
21
F3C
f1 (ppm)

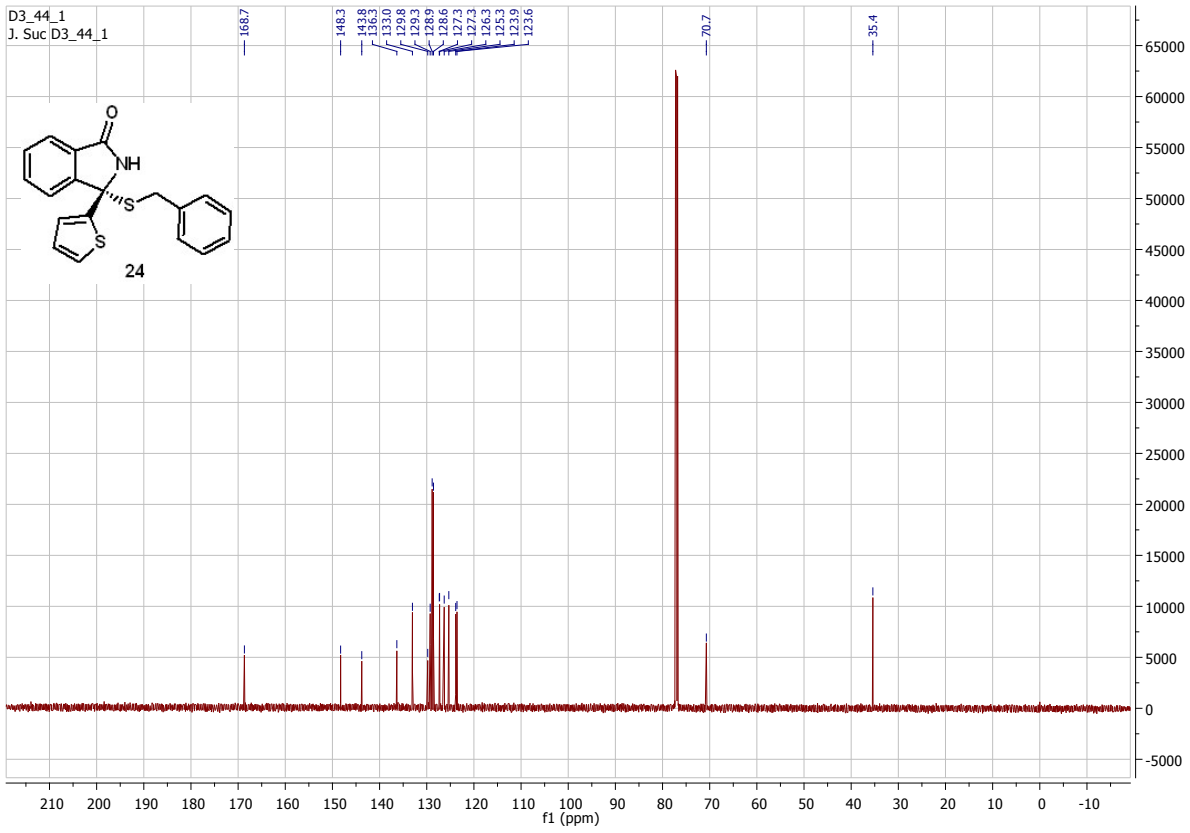

ftalimid (4-CF3)Ph-S-(4-OMe)Ph
J. Suc D3_41
O
NH
S
OMe
F3C
22
2.96
3.02
2.22
1.09
2.01
2.02
3.00
f1 (ppm)

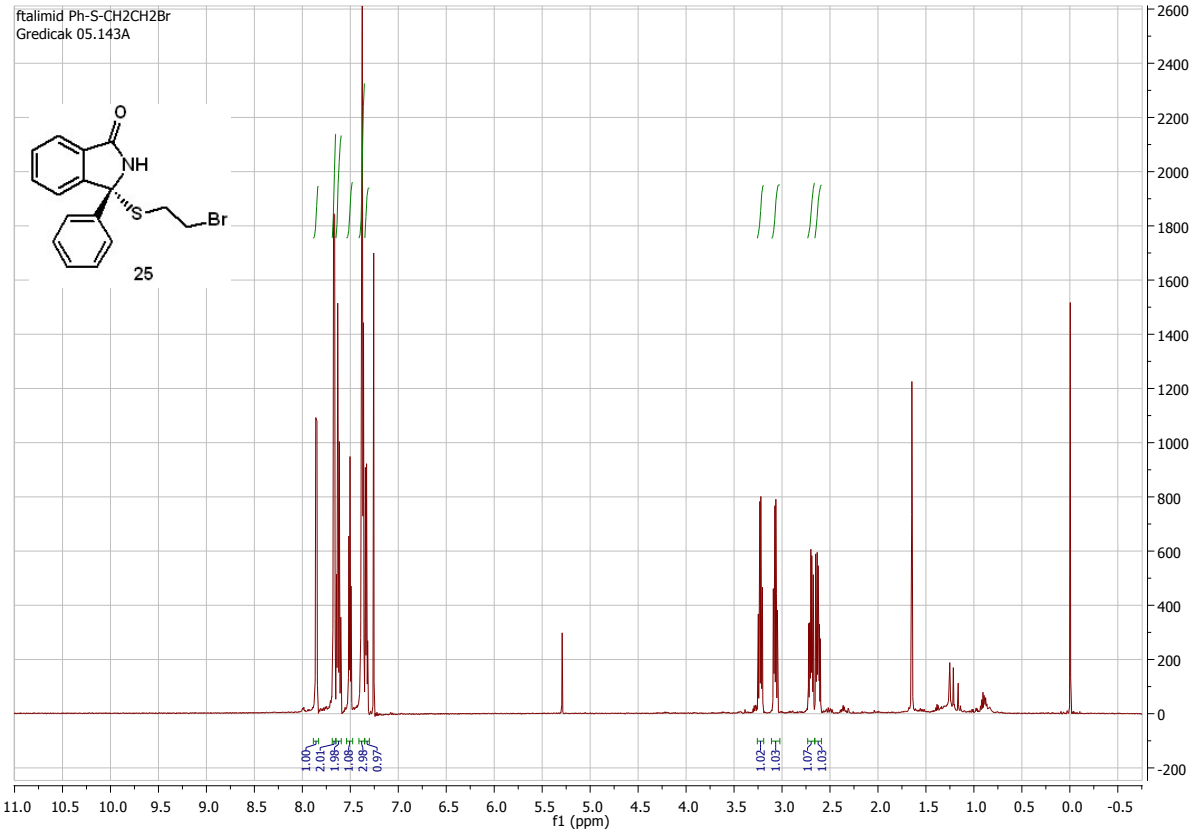

ftalimid (4-CF3)Ph-S-(4-OMe)Ph
J. Suc D3_41
169.88
169.38
161.09
148.06
143.21
138.61
132.59
131.06
130.62
129.93
128.90
126.64
125.96
125.91
125.64
123.55
122.03
119.60
114.16
75.24
55.17
O
NH
S
OMe
F3C
22
f1 (ppm)

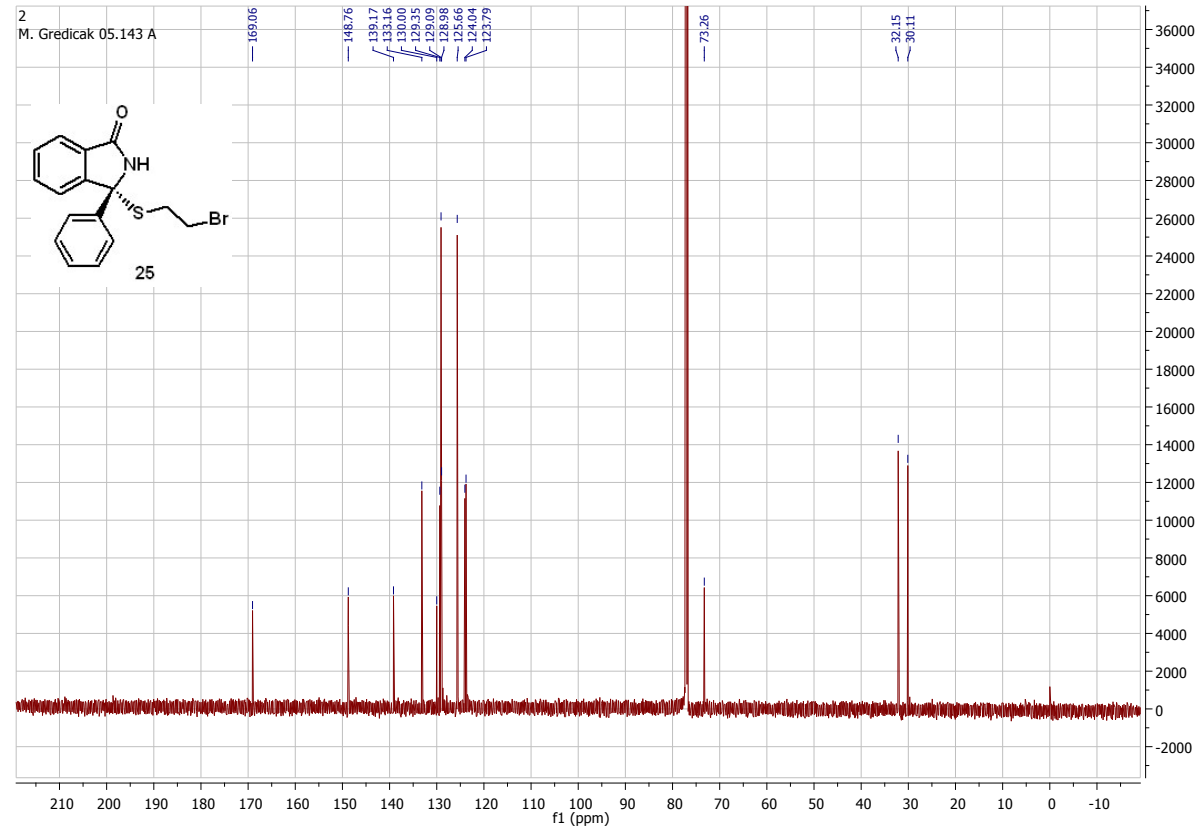

ftalimid (furan)-S-Bzl
J. Suc D3_38_1
O
NH
S
O
0.93
0.96
1.03
0.99
0.94
0.92
2.87
1.83
0.94
0.88
1.01
1.00
f1 (ppm)

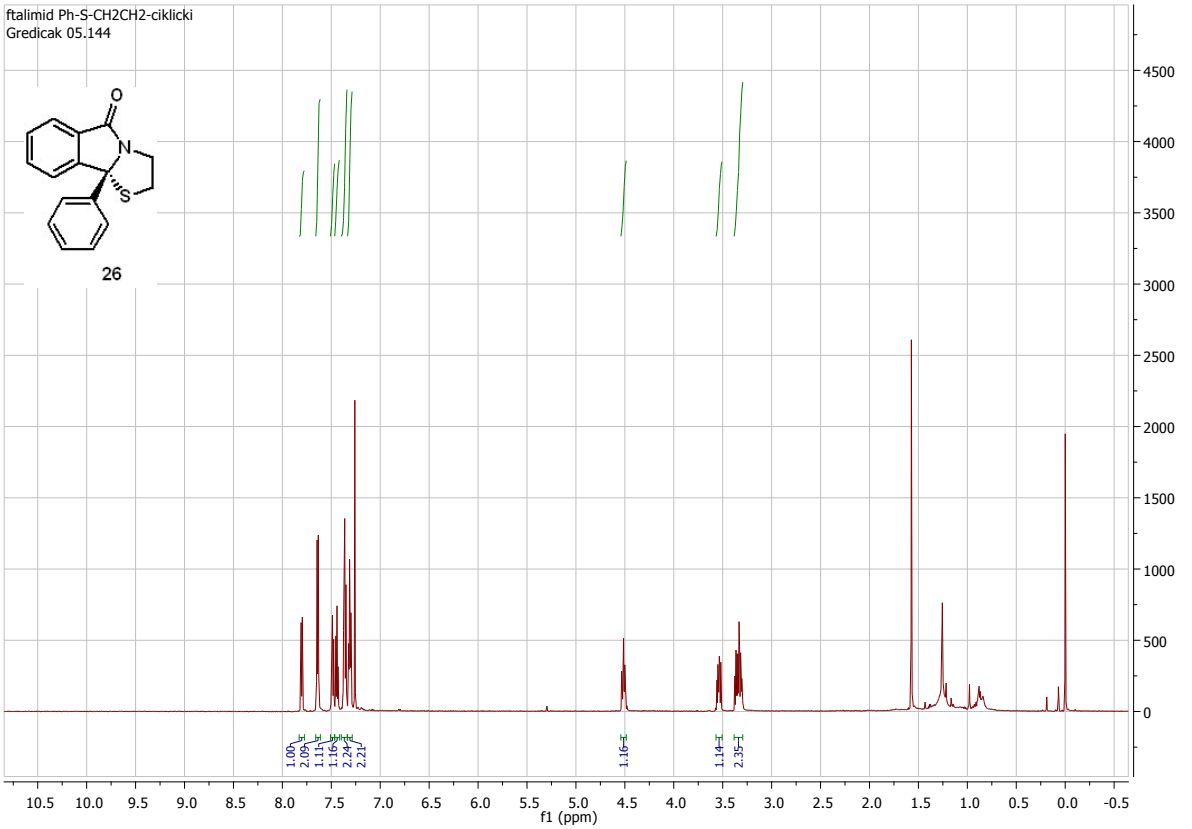

ftalimid (furan)-S-Bzl
J. Suc D3_38_1
168.90
150.76
146.20
143.46
136.53
132.92
130.41
129.42
128.84
128.53
127.21
123.95
123.86
110.59
107.86
68.73
34.58
O
NH
S
O
f1 (ppm)

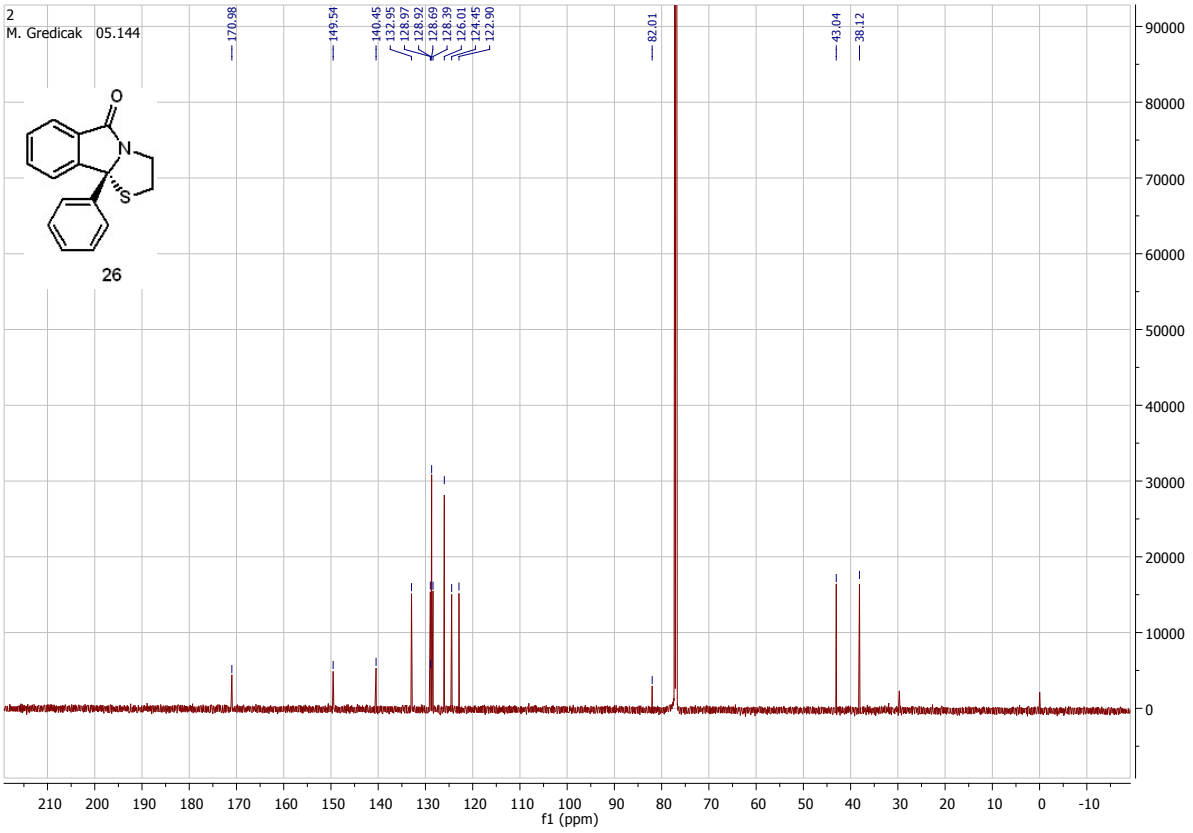

D3_44_1
J. Suc D3_44_1
O
NH
S
S
24
0.89
0.96
1.00
1.00
1.32
3.72
1.94
1.00
0.74
1.00
1.00
f1 (ppm)


D3_44_1
J. Suc D3_44_1
168.7
148.3
143.8
136.3
133.0
129.8
129.3
128.9
128.6
127.3
127.3
126.3
125.3
123.9
123.6
70.7
35.4
O
NH
S
S
24
f1 (ppm)


ftalimid Ph-S-CH2CH2Br
Gredicak 05.143A
O
NH
S
Br
25
1.00
2.01
1.98
1.08
2.98
0.97
1.02
1.03
1.07
1.03
f1 (ppm)


2
M. Gredicak 05.143 A
169.06
148.76
139.17
133.16
130.00
129.35
129.09
128.98
125.66
124.04
123.79
73.26
32.15
30.11
O
NH
S
Br
25
f1 (ppm)


ftalimid Ph-S-CH2CH2-ciklicki
Gredicak 05.144
O
N
S
26
1.00
2.09
1.11
1.16
2.24
2.21
1.16
1.14
2.35
f1 (ppm)


2
M. Gredicak 05.144
170.98
149.54
140.45
132.95
128.97
128.92
128.69
128.39
126.01
124.45
122.90
82.01
43.04
38.12
O
N
S
26
f1 (ppm)