Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Enantioselective Sulfonylation to Construct 3-Sulfonylated Oxindoles

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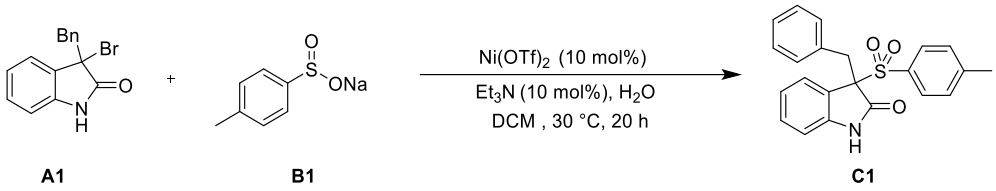
1 General information

NMR characterization data were collected on bruker ASCEND™ operating at 400 MHz for ^{1}H NMR, 101 MHz for ^{13}C NMR (with complete proton decoupling), and 376 MHz for ^{19}F NMR (with complete proton decoupling). ^{1}H NMR and ^{13}C NMR: chemical shifts δ were recorded in ppm relative to tetramethylsilane and internally referenced to the residual solvent signal (for ^{1}H NMR, $CDCl_3$: δ = 7.26 ppm, DMSO-d_6: δ =2.50 ppm; for ^{13}C NMR: $CDCl_3$: δ = 77.2 ppm, DMSO-d_6: δ = 39.5 ppm). Data were reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, m = multiplet), coupling constants (Hz), integration. Enantiomeric ratios (er) were determined by chiral HPLC analysis using the Daicel chiralpak column as stated in the experimental procedures at 23 °C with UV detector at 254 nm. High resolution mass spectra (HRMS) were performed on Thermo Q-Exactive Focus (FTMS+c ESI) and data were reported as (m/z). Infrared spectra (IR) were recorded on Bruker Tensor II spectrometer with Plantium ATR accessory and the peaks are reported as absorption maxima (ν, cm^{-1}). Optical rotations were measured on Rudolph Research Analytic Automatic Polarimeter, and reported as follows: $[\alpha]_D^T$ (*c*: g/100 mL, in CH_2Cl_2 or CH_2Cl_2/CH_3OH = 4/1). Melting point ranges were determined on OptiMelt. X-ray crystallographic data were collected by a Bruker D8 Venture Photon II. The experiments requiring substrates 3-Bromo-3-substituted oxindoles[1], most of substrates sodium sulfinate salts[2], chiral *N*,*N*′-dioxide ligands[3] were synthesized according to known procedures and purified by recrystallization prior to use. All of the starting materials including the metal salts were purchased from TCI, Aladdin, Adamas, Acros, Aldrich and other companies, and used without further purification. All the solvents were pre-dried over appropriate desiccants, and distilled prior to use. other commercial reagents were used without further purification. Reactions were monitored using thin-layer chromatography (TLC) on GF254 silica gel. Visualization of the developed plates was performed under UV light (254 nm) or using iodine, cobalt thiocyanate or $KMnO_4$. The products were purified by flash column chromatography with silicycle 300-400 mesh silica gel.

2 Typical procedure for preparation of the products

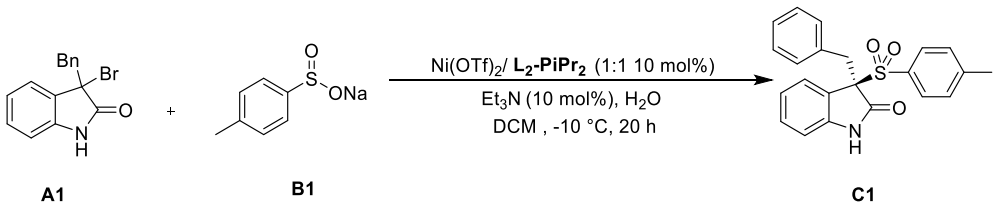
1 Typical procedure for preparation of racemic products



A1 **B1** **C1**

An oven-dried test tube were charged with $Ni(OTf)_2$ (3.6 mg, 0.01 mmol, 10 mol %), 3-bromo-3-substituted oxindole **A** (0.1 mmol), the sodium sulfinate salt **B** (0.11 mmol, 1.1 equiv.), H_2O (20 μL), Et_3N (1.5 μL, 10 mol %), and anhydrous DCM (1.5 mL), and the mixture was stirred at 30 °C for 20 hours. After the reaction mixture was concentrated under reduced pressure, the crude product was subjected to flash column chromatography on silica gel and eluted with DCM/ethyl acetate (20/1) to afford the corresponding racemic product **C**.

2 Typical procedure for preparation of optically enriched products

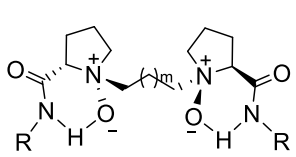


A1 **B1** **C1**

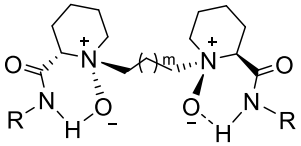
An oven-dried test tube were charged with $Ni(OTf)_2$ (3.6 mg, 0.01 mmol, 10 mol %), **L_2-PiPr$_2$** (6.4 mg, 0.01 mmol, 10 mol %), 3-bromo-3-substituted oxindole **A** (0.1 mmol), and anhydrous DCM (1.5 mL), and the mixture was stirred at 35 °C for 30 minutes. Subsequently, the mixture was cooled to -10 °C, then the sodium sulfinate salt **B** (0.11 mmol, 1.1 equiv.), H_2O (20 μL), Et_3N (1.5 μL, 10 mol %) was added and the reaction was performed at

-10 °C for 20 hours. The reaction mixture was concentrated under reduced pressure, the crude product was subjected to flash column chromatography on silica gel and eluted with DCM/ethyl acetate (20/1) to afford the corresponding product **C**.

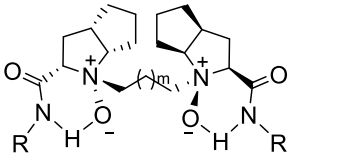
3 Optimization of the reaction conditions



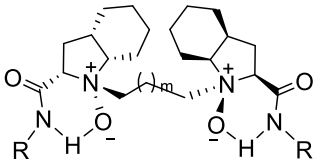
L_3-PrPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 1



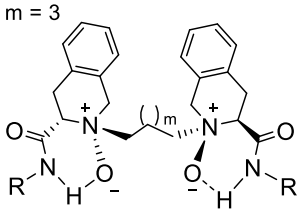
L_3-PiPh: R = C$_6$H$_5$ m = 1
L_3-PiMe$_2$: R = 2,6-Me$_2$C$_6$H$_3$ m = 1
L_3-PiEt$_2$: R = 2,6-Et$_2$C$_6$H$_3$ m = 1
L_3-PiPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 1
L_3-PiPr$_3$: R = 2,4,6-*i*Pr$_2$C$_6$H$_2$ m = 1
L_3-PiPr$_2$Ad: R = 2,6-*i*Pr$_2$-4-AdC$_6$H$_2$ m = 1
L_2-PiPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 0
L_4-PiPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 2
L_5-PiPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 3



L_3-RaPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 1



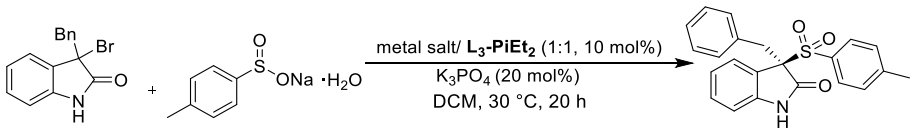
L_3-PePr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 1



L_3-TQPr$_2$: R = 2,6-*i*Pr$_2$C$_6$H$_3$ m = 1

Figure S1 Structures of chiral ligands used in the study

Table S1. Screening of metal salts

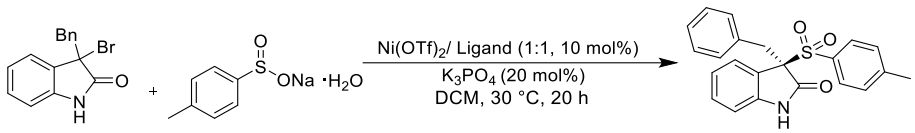


A1 **B1a** **C1**

entry[a]	metal salt	yield (%)[b]	er[c]
1	$Mg(OTf)_2$	48	53:47
2	$Sc(OTf)_3$	10	54:46
3	$Ni(OTf)_2$	73	62:38
4	$Zn(OTf)_2$	33	53:47
5	$Y(OTf)_3$	45	53:47
6	$Cu(OTf)_2$	21	53:47
7	$Dy(OTf)_3$	39	55:45

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), K_3PO_4 (20 mol %) and metal salt/**L_3-PiEt$_2$** (1:1, 10 mol %) in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S2. Screening of the ligands

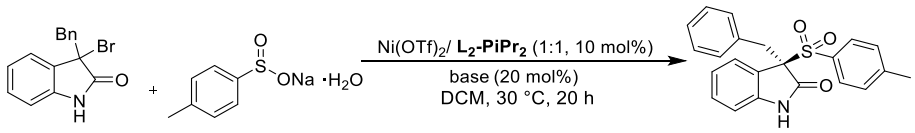


A1 **B1a** **C1**

entry[a]	Ligand	yield (%)[b]	er[c]
1	**L_3-PiEt$_2$**	73	62:38
2	**L_3-PiPr$_2$**	67	65:35
3	**L_3-PrPr$_2$**	70	47:53
4	**L_3-TQPr$_2$**	71	63:37
5	**L_3-PePr$_2$**	72	55:45
6	**L_3-RaPr$_2$**	69	52:48
7	**L_3-PiMe$_2$**	61	56:44
8	**L_3-PiPr$_3$**	72	60:40
9	**L_3-PiPh**	69	52:48
10	**L_3-PiPr$_2$Ad**	61	58:42
11	**L_2-PiPr$_2$**	74	75:25
12	**L_4-PiPr$_2$**	65	39:61
13	**L_5-PiPr$_2$**	60	28:72

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), K_3PO_4 (20 mol %) and $Ni(OTf)_2$/ Ligand (1:1, 10 mol %) in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S3. Screening of base



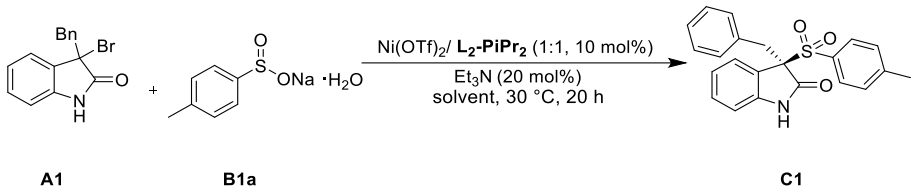
A1 **B1a** **C1**

entry[a]	base	yield (%)[b]	er[c]
1	K_3PO_4	74	75:25
2	Na_2CO_3	76	84.5:15.5
3	K_2CO_3	84	83.5:16.5
4	Cs_2CO_3	81	80:20
5	Et_3N	76	86:14
6	K_2HPO_4	65	84:16
7	$^iPr_2EtN$	72	84:16
8	KH_2PO_4	22	77.5:22.5
9	$NaHCO_3$	32	78.5:21.5

10	$KHCO_3$	74	79.5:20.5
11	$Na_3PO_4{\cdot}12H_2O$	79	84:16
12	NaH_2PO_4	24	78.5:21.5
13	Na_2HPO_4	26	78:22

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), base (20 mol %) and $Ni(OTf)_2$**/L$_2$-PiPr$_2$** (1:1, 10 mol %) in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S4. Solvent effect

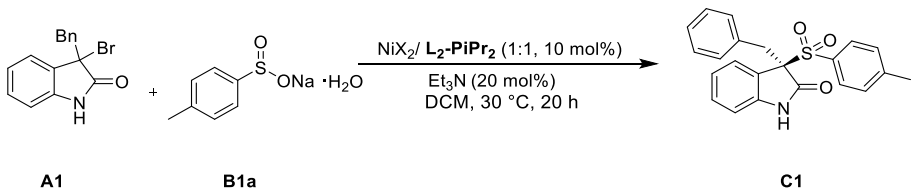


A1 **B1a** **C1**

entry[a]	solvent	yield (%)[b]	er[c]
1	DCM	76	86:14
2	DCE	81	80.5:19.5
3	ethyl acetate	55	66:34
4	CH_3CN	74	56.5:43.5
5	toluene	70	69.5:30.5
6	THF	15	65:35

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), Et_3N (20 mol %) and $Ni(OTf)_2$**/L$_2$-PiPr$_2$** (1:1, 10 mol %) in solvent (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S5. Screening of counterion of Ni(II) salts

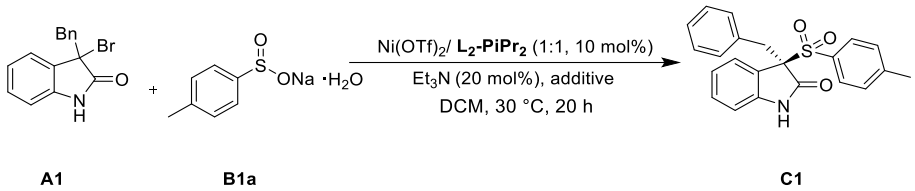


A1 **B1a** **C1**

entry[a]	NiX_2	yield (%)[b]	er[c]
1	$Ni(OTf)_2$	76	86:14
2	$Ni(NTf)_2$	78	83:17
3	$Ni(BF_4)_2{\cdot}6H_2O$	80	56.5:43.5
4	$Ni(ClO_4)_2{\cdot}6H_2O$	83	63:37
5	$NiBr_2$	71	54.5:45.5
6	$Ni(acac)_2$	75	70:30

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), Et_3N (20 mol %) and NiX_2**/L$_2$-PiPr$_2$** (1:1, 10 mol %) in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S6. Screening of the additives

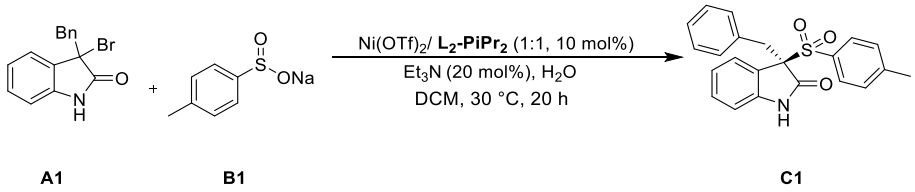


A1 **B1a** **C1**

entry[a]	additive	yield (%)[b]	er[c]
1	-	76	86:14
2	3 Å M.S. (20 mg)	72	55:45
3	4 Å M.S. (20 mg)	66	54.5:45.5
4	5 Å M.S. (20 mg)	60	55.5:44.5
5	$NaBAr^F_4$ (10 mg)	55	77.5:22.5
6[d]	-	81	84.5:15.5
7[d]	H_2O (2 μL)	76	88:12
8[d]	H_2O (5 μL)	73	91:9
9[d]	H_2O (10 μL)	78	92.5:7.5
10[d]	H_2O (20 μL)	83	93:7
11[d]	H_2O (50 μL)	79	93:7
12[d]	H_2O (100 μL)	82	93:7

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), Et_3N (20 mol %), $Ni(OTf)_2$**/L₂-PiPr₂** (1:1, 10 mol %), and additives in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC. [d]Anhydrous sodium salt (**B1**) instead of **B1a**.

Table S7. Screening of the amount of solvent

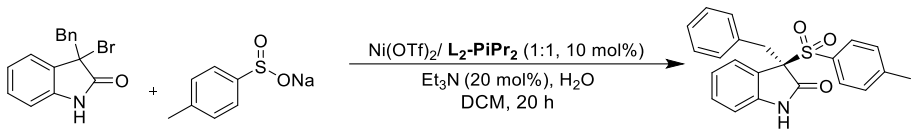


A1 **B1** **C1**

entry[a]	the amount of solvent	yield (%)[b]	er[c]
1	0.5 mL	88	92:8
2	1.0 mL	92	93:7
3	1.5 mL	87	93.5:6.5
4	2.0 mL	92	93:7

[a]The reactions were performed with **A1** (0.10 mmol), **B1** (0.11 mmol), Et_3N (20 mol %), H_2O (20 μL), and $Ni(OTf)_2$**/L₂-PiPr₂** (1:1, 10 mol %) in DCM at 30 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S8. Screening of the temperature

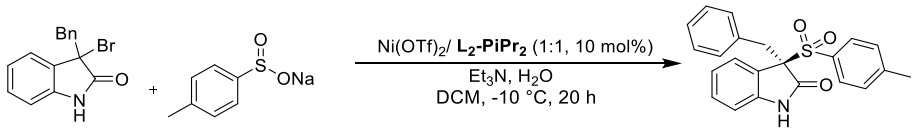


A1 **B1** **C1**

entry[a]	temperature (℃)	yield (%)[b]	er[c]
1	-40	15	86:14
2	-30	30	93:7
3	-20	65	92.5:7.5
4	-10	97	96:4
5	0	87	94:6
6	10	90	94:6
7	20	91	93.5:6.5
8	30	87	93.5:6.5
9	40	95	93:7

[a]The reactions were performed with **A1** (0.10 mmol), **B1** (0.11 mmol), Et_3N (20 mol %), H_2O (20 μL), and $Ni(OTf)_2$**/L₂-PiPr₂** (1:1, 10 mol %) in DCM (1.5 mL) at corresponding temperature for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S9. Screening of the amount of base

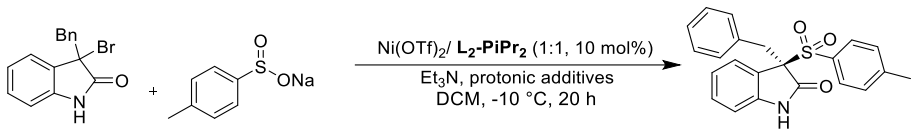


A1 **B1** **C1**

entry[a]	the amount of base (x mol %)	yield (%)[b]	er[c]
1	-	70	92:8
2	10	97	96:4
3	20	97	96:4
4	50	69	91.5:8.5
5	100	64	88:12
6	200	60	85:15

[a]The reactions were performed with **A1** (0.10 mmol), **B1** (0.11 mmol), Et_3N, H_2O (20 μL), and $Ni(OTf)_2$**/L₂-PiPr₂** (1:1, 10 mol %) in DCM (1.5 mL) at -10 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S10. Screening of the other protonic additives

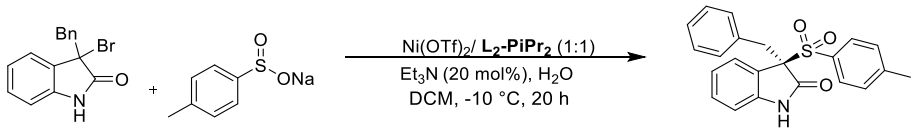


A1 **B1** **C1**

entry[a]	protonic additive	yield (%)[b]	er[c]
1	H_2O	97	96:4
2	CH_3OH	96	91:9
3	C_2H_5OH	97	89:11
4	*i*-PrOH	95	85:15
5	HFIP	82	75:25
6	CF_3COOH	-	-

[a]The reactions were performed with **A1** (0.10 mmol), **B1** (0.11 mmol), Et_3N (10 mol %), protonic additive (20 μL), and Ni(OTf)$_2$**/L$_2$-PiPr$_2$** (1:1, 10 mol %) in DCM (1.5 mL) at -10 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

Table S11. Screening of the catalyst loading

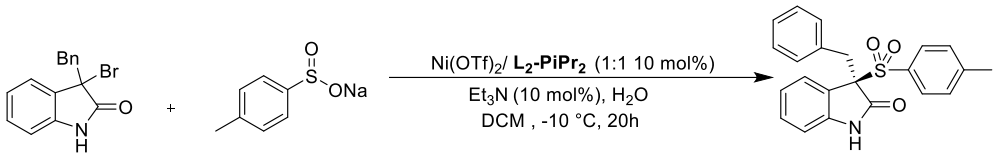


A1 **B1** **C1**

entry[a]	the catalyst loading (x mol %)	yield (%)[b]	er[c]
1	5	86	93:7
2	10	97	96:4

[a]The reactions were performed with **A1** (0.10 mmol), **B1** (0.11 mmol), Et_3N (10 mol %), H_2O (20 μL), and Ni(OTf)$_2$**/L$_2$-PiPr$_2$** (1:1) in DCM (1.5 mL) at -10 °C for 20 h. [b]Yield of the isolated product. [c]Er values were determined by HPLC.

4 Gram-scale synthesis of C1



A1
3.3 mmol

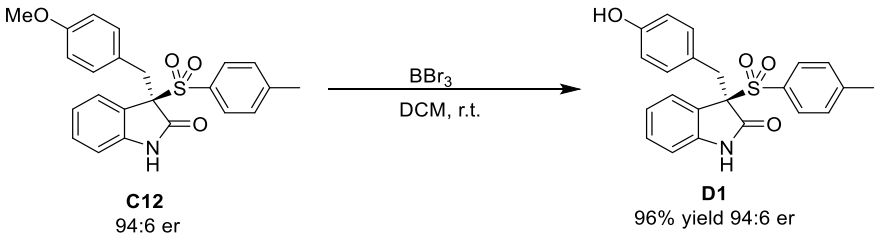
B1
3.6 mmol

C1
1.13 g, 91% yield, 94:6 e.r.

An oven-dried round bottom flask was charged with metal salt Ni(OTf)$_2$ (118.8 mg, 0.33 mmol, 10 mol %), **L$_2$-PiPr$_2$** (211.2 mg, 0.33 mmol, 10 mol %), 3-bromo-3-substituted oxindole **A1** (3.3 mmol), and anhydrous DCM (49.5 mL), the mixture was stirred at 35 °C for 30 minutes. Subsequently, the mixture was cooled to -10 °C, then the sodium sulfinate salt **B1** (641 mg, 3.6 mmol, 1.1 equiv.), H_2O (660 μL), and Et_3N (49.5 μL, 10 mol %) were added, and the reaction was performed at -10 °C for 20 hours. The reaction mixture was concentrated under

reduced pressure, the crude product was subjected to flash column chromatography on silica gel and eluted with DCM/ethyl acetate (20/1) to afford the corresponding product **C1** (1.13 g, 91% yield, 94:6 er).

5 Synthetic transformations

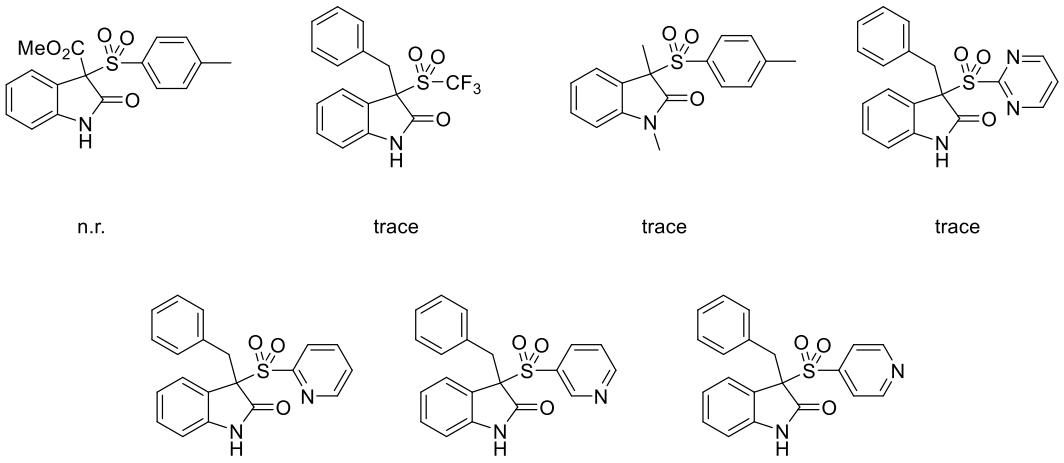


C12
94:6 er

D1
96% yield 94:6 er

Compound **D1**: An oven-dried test tube was charged with **C12** (8.1 mg, 0.02 mmol, 1.0 equiv.) and BBr_3 (1 M in DCM, 0.04 mL, 0.04 mmol, 2.0 equiv.). Anhydrous DCM (0.5 mL) was added and the mixture was stirred at room temperature for 3 hours. Then 0.1 mL water was added in 0 °C to quench the reaction. The reaction mixture was directly subjected to flash column chromatography on silica gel (eluent: DCM/ethyl acetate = 5/1) to afford the corresponding product **D1** (7.5 mg, 96% yield, 94:6 er).

6 Unsuccessful substrate scopes



n.r. trace trace trace

16% yield, 50:50 er trace trace

7 Bioactivity study

Cell Culture

Lung cancer cell line A549 (from Procell) with Ham's F-12K (Bosterbio, CA) plus 10% (v/v) FBS (Gibco, New York), 1% (v/v) penicillin/streptomycin (Beyotime, Shanghai) culture. Liver cancer cell line HCCLM3 (obtained from Procell) was cultured with DMEM (Shanghai BioIndustry Corporation) supplemented with 10% (v/v) FBS (New York Gibco Corporation) and 1% (v/v) penicillin/streptomycin (Shanghai Beyotime Corporation). All cells were cultured in an incubator at 37 °C and 5% CO_2.

Cell viability assay

Logarithmic growth cells were inoculated on 96-well plates with a density of 1.5*104 / well. When the cell density reached more than 80%, it was treated with 1% DMSO (negative control) and other compounds of different concentrations at 37 °C for 48 h. No FBS F-12K or DMEM was added to each well, 10%(v/v) CCK-8 (TargetMol,

Boston) was added and incubated at 37 °C for 1 h. Absorbance was measured at 450 nm and cell survival rate (%) was calculated. IC_{50} was detected using Graphpad Prism. Each experiment was repeated three times.

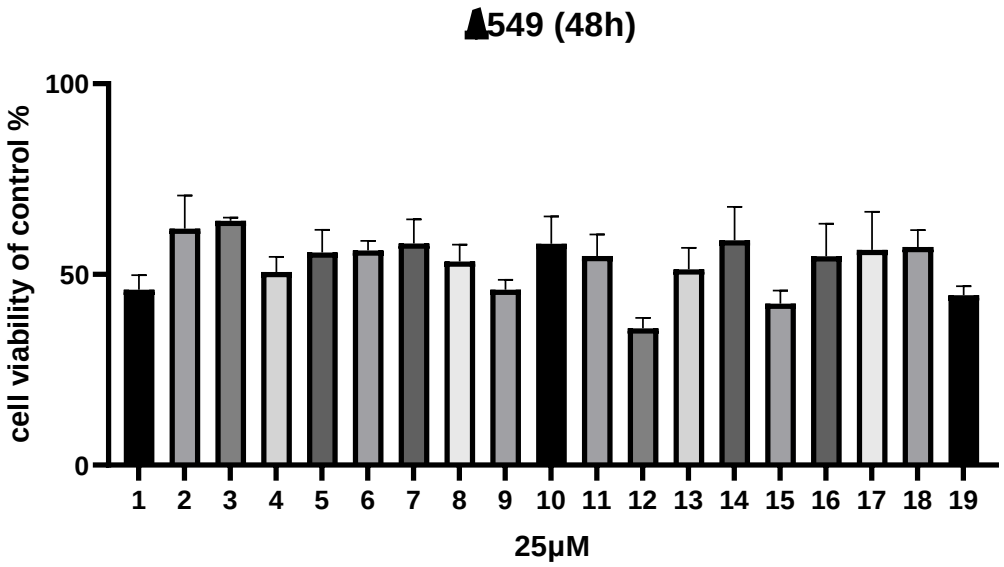
Result

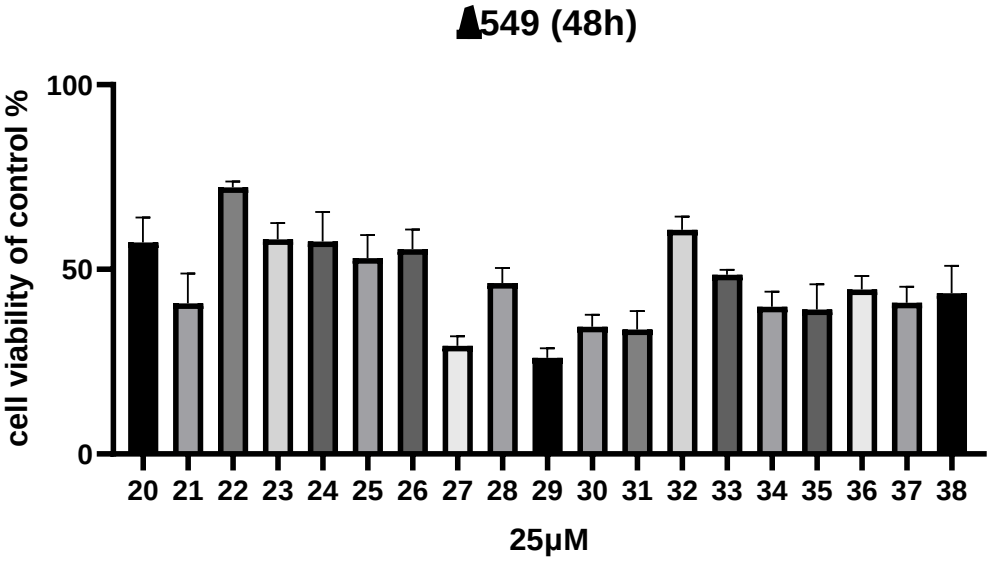
Synthetic compounds inhibit lung cancer viability screening

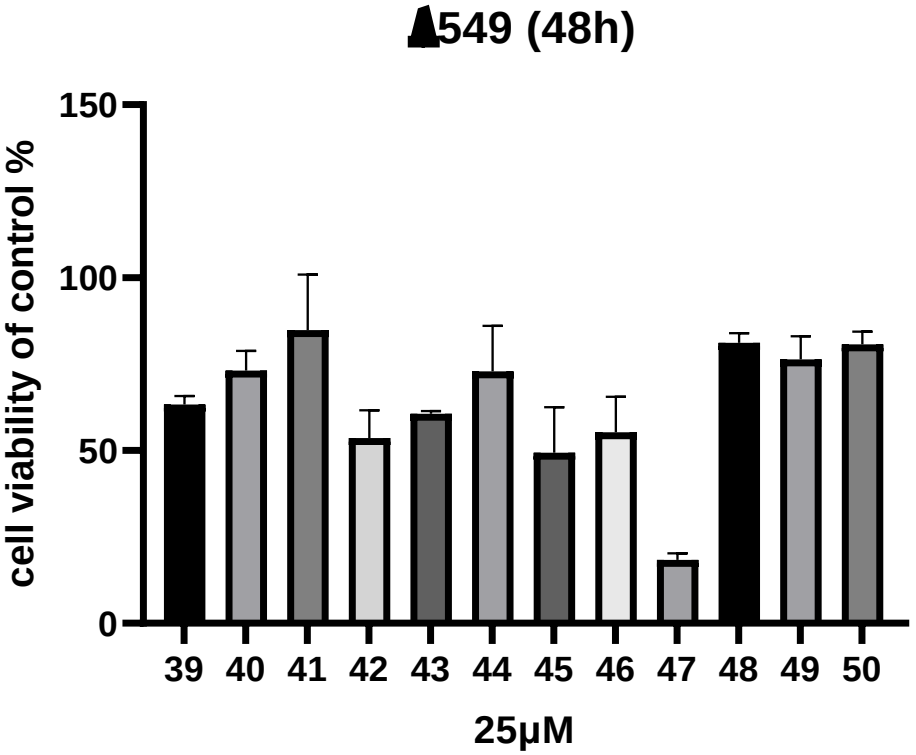
To study the anti-lung cancer (A549) of synthetic compounds, we measured the A549 cell viability after exposed to each compound with 25 μM for 48 h. The results showed that compounds **C29** and **C47** had strong inhibitory effect on the proliferation and viability of A549 and the IC_{50} concentrations of **C29** and **C47** treated A549 cells for 48 h are 4.514 and 5.943 μM respectively.

Synthetic compounds inhibit hepatocellular carcinoma viability screening

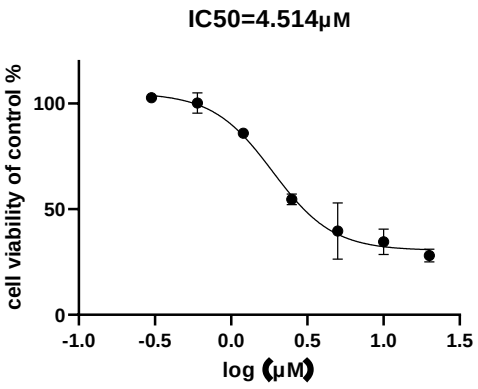
For hepatocellular carcinoma cell lines, the IC_{50} concentrations of compounds **C29** and **C47** treated HCCLM3 cells for 48 h are 4.288 and 5.713 μM respectively.

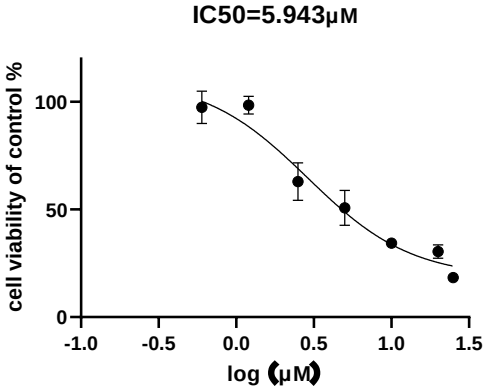


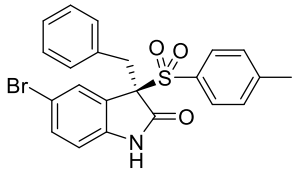

549 (48h)
cell viability of control %
100
50
0
20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38
25μM

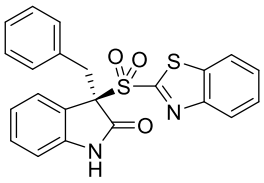

549 (48h)
cell viability of control %
150
100
50
0
39 40 41 42 43 44 45 46 47 48 49 50
25μM

A549 IC50 (48h)



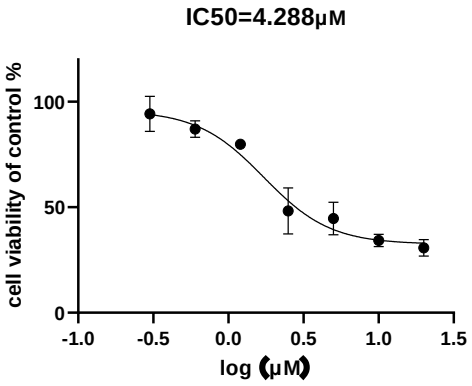


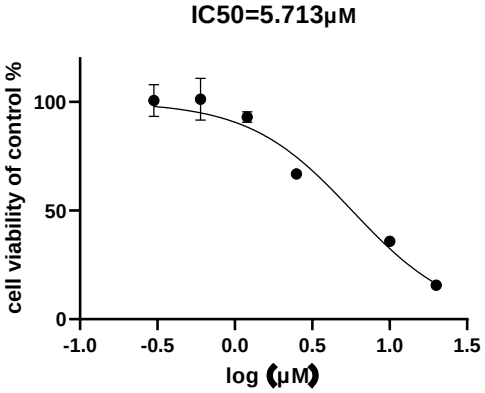


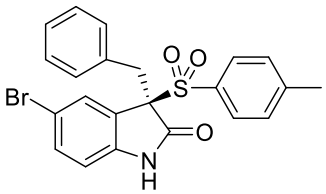


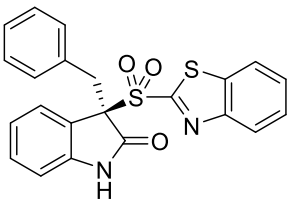
	C29	C47
IC_{50}	4.514 μM	5.943 μM

HCCLM3 IC50 (48h)





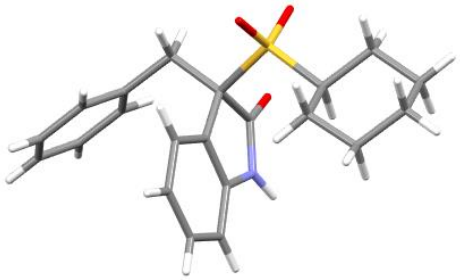


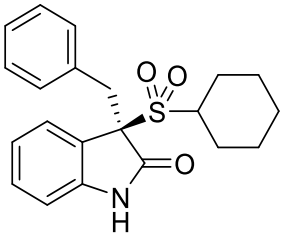


	C29	C47
IC_{50}	4.288 μM	5.713 μM

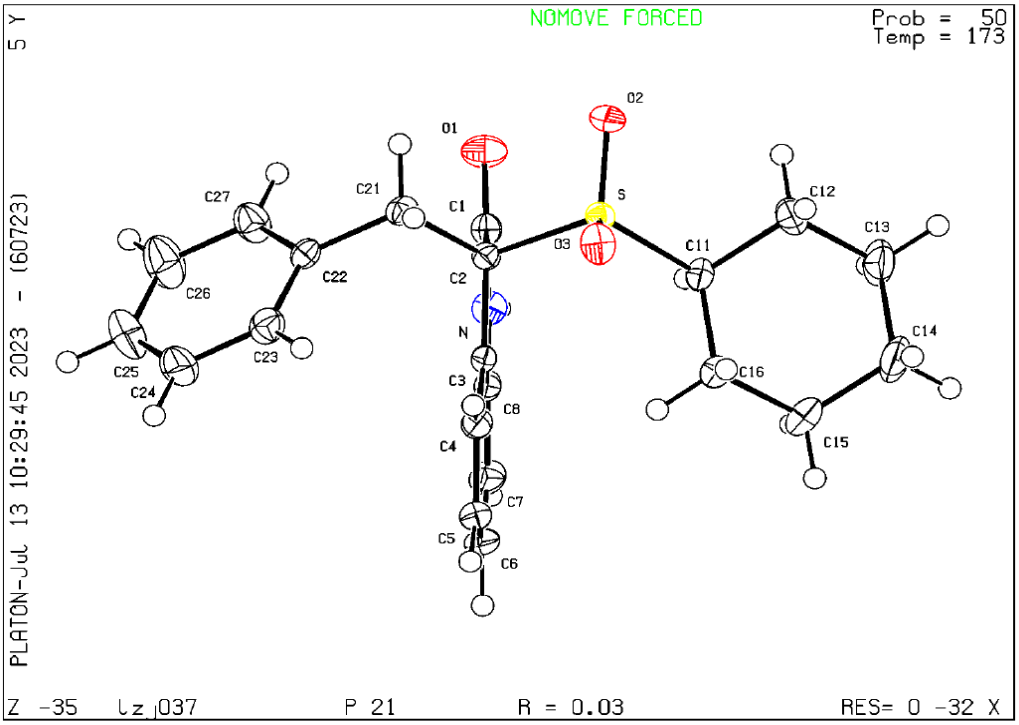
8 Determination of the absolute configuration of compound C50

Crystals suitable for the X-ray crystal structure analysis were obtained from a solution of compound C50 in $CDCl_3$ (0.1 mL) and petroleum ether (0.2 mL) at r.t.. The colourless crystal in block-shape, with approximate dimensions of 0.072 × 0.133 × 0.357 mm^3, was selected and mounted for the single-crystal X-ray diffraction. The data set was collected by Bruker D8 Venture Photon II diffractometer at 173(2)K equipped with micro-focus Cu radiation source (K_α = 1.54178Å). Applied with face-indexed numerical absorption correction, the structure solution was solved and refinement was processed by SHELXTL (version 6.14) and OLEX 2.3 program package[4, 5, 6, 7]. The structure was analyzed by ADDSYM routine implemented in PLATON suite and no higher symmetry was suggestede[8].





C50



Crystallographic Data for $C_{21}H_{23}NO_3S$.

Formula	$C_{21}H_{23}NO_3S$
Formula mass (amu)	369.46
Space group	P21
a (Å)	10.5669 (3)
b (Å)	8.0829 (2)
c (Å)	11.7127 (3)

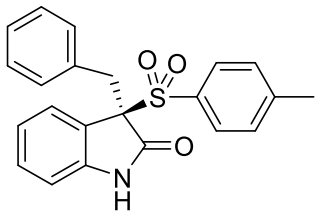
α (deg)	90
β (deg)	113.000 (1)
γ (deg)	90
V (Å^3)	920.87 (4)
Z	2
λ (Å)	1.54178
T (K)	173
ρ_{calcd} (g cm^{-3})	1.332
μ (mm^{-1})	1.728
Transmission factors	0.705–0.962
θ_{max} (deg)	68.254
No. of unique data, including $F_o^2 < 0$	3277
No. of unique data, with $F_o^2 > 2\sigma(F_o^2)$	3233
No. of variables	239
$R(F)$ for $F_o^2 > 2\sigma(F_o^2)$ [a]	0.0257
$R_w(F_o^2)$ [b]	0.0636
Goodness of fit	1.065

[a] $R(F) = \sum||F_o| - |F_c|| / \sum|F_o|$.

[b] $R_w(F_o^2) = [\sum[w(F_o^2 - F_c^2)^2] / \sum wF_o^4]^{1/2}$; $w^{-1} = [\sigma^2(F_o^2) + (Ap)^2 + Bp]$, where $p = [\max(F_o^2,0) + 2F_c^2] / 3$.

9 Characterization of the products

(R)-3-benzyl-3-tosylindolin-2-one (C1)



C1

White solid; 36.6 mg, 97% yield, 96:4 er; melting point: 250-251 °C; $[\alpha]_D^{24.6}$ = -42.0 (*c* = 0.50 in CH_2Cl_2).

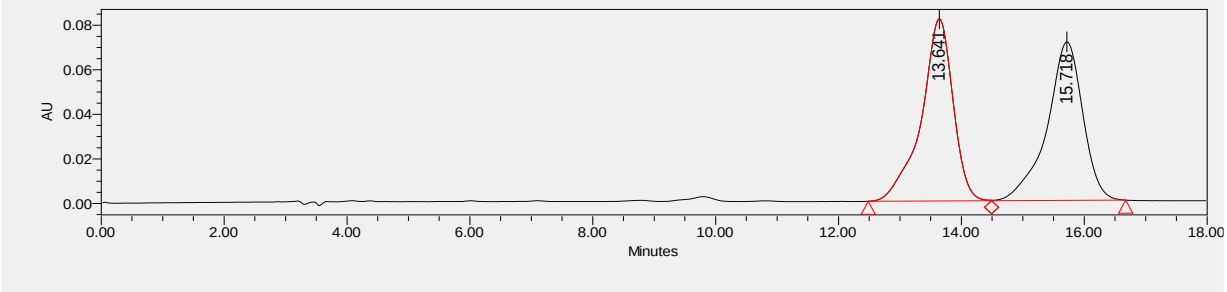
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 13.55 min, t_R(minor) = 15.70 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (s, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.22 – 7.10 (m, 4H), 7.06 – 6.85 (m, 5H), 6.50 (d, *J* = 7.6 Hz, 1H), 3.87 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H), 2.38 (s, 3H).

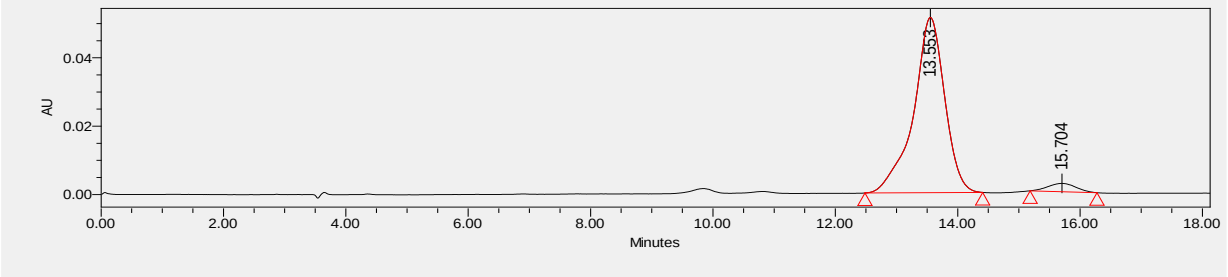
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.5, 145.5, 141.3, 133.0, 132.0, 130.4, 130.3, 130.1, 129.2, 128.1, 127.3, 127.1, 122.8, 122.2, 109.9, 76.4, 35.1, 21.7.

IR: 3286, 1736, 1695, 1318, 1112, 1083, 700, 667, 562 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{19}NO_3SNa^+$ 400.0978; found 400.0980.

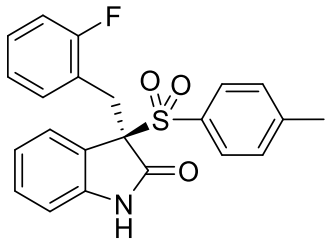


	Retention Time	Area	% Area
1	13.641	2935629	50.52
2	15.718	2875331	49.48



	Retention Time	Area	% Area
1	13.553	1816491	95.94
2	15.704	76947	4.06

(R)-3-(2-fluorobenzyl)-3-tosylindolin-2-one (C2)



C2

White solid; 36.0 mg, 91% yield, 95:5 er; melting point: 261-264 °C; $[\alpha]_D^{24.9}$ = -34.5 (*c* = 0.42 in CH_2Cl_2).

Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 11.46 min, t_R(minor) = 7.19 min.

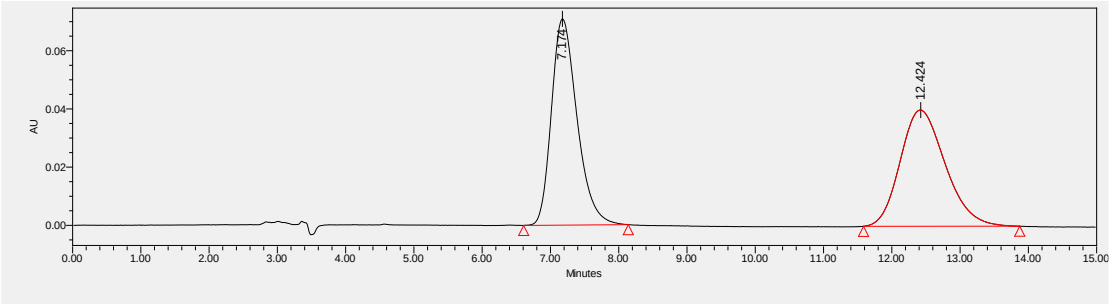
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.75 (dd, *J* = 7.6, 2.8 Hz, 1H), 7.47 (d, *J* = 7.6 Hz, 2H), 7.17 (m, 3H), 7.07 (m, 2H), 6.98 (m, 1H), 6.72 (t, *J* = 8.4 Hz, 2H), 6.52 (d, *J* = 7.6 Hz, 1H), 4.04 (d, *J* = 13.6 Hz, 1H), 3.74 (d, *J* = 13.6 Hz, 1H), 2.38 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 171.8, 161.9, 159.5, 145.6, 141.2, 131.8, 131.6, 131.6, 130.5, 130.4, 129.2, 129.1, 127.8, 127.8, 123.9, 123.9, 122.8, 121.7, 120.8, 120.6, 115.3, 115.1, 109.6, 75.9, 27.6, 21.8.

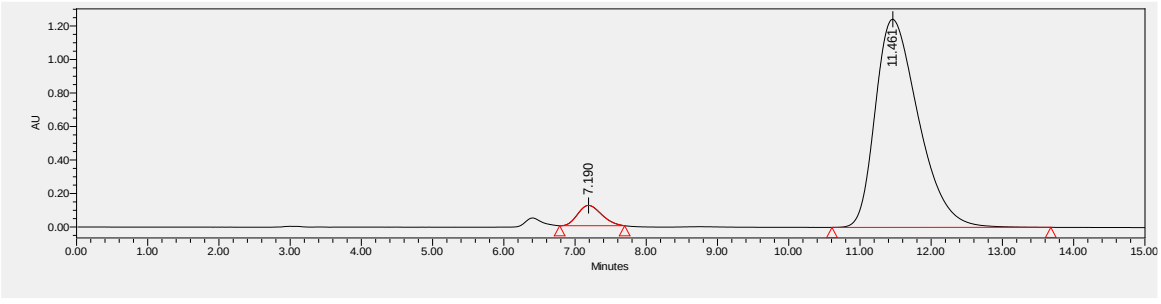
^{19}F NMR (376 MHz, $CDCl_3$) δ 114.4.

IR: 3274, 1735, 1694, 1319, 1107, 1084, 703, 666, 596 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}FNO_3SNa^+$ 418.0884; found 418.0892.

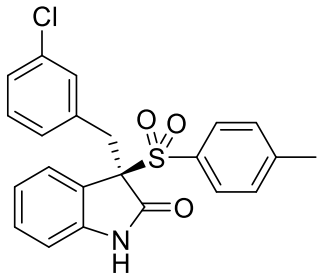


	Retention Time	Area	% Area
1	7.174	1847400	50.28
2	12.424	1826688	49.72



	Retention Time	Area	% Area
1	7.190	2695562	4.91
2	11.461	52235997	95.09

(R)-3-(3-chlorobenzyl)-3-tosylindolin-2-one (C3)



C3

White solid; 37.8 mg, 92% yield, 93:7 er; melting point: 246-248 °C; $[\alpha]_D^{24.7}$ = -46.7 (*c* = 0.60 in CH_2Cl_2).

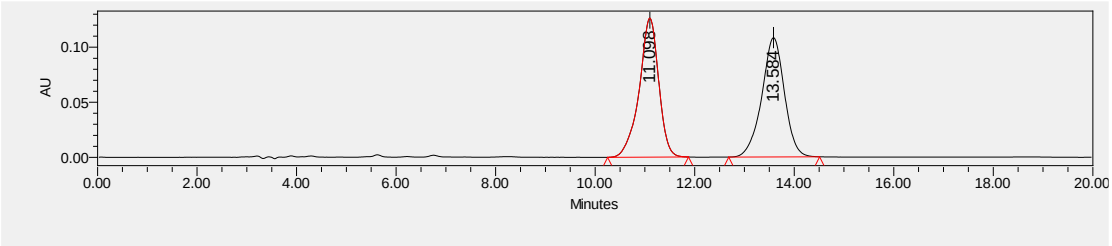
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.21 min, t_R(minor) = 12.63 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.6 Hz, 1H), 7.57 (s, 1H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.10 (m, 4H), 7.05 – 6.98 (m, 1H), 6.96 – 6.88 (m, 2H), 6.82 (d, *J* = 8.0 Hz, 1H), 6.52 (d, *J* = 7.6 Hz, 1H), 3.83 (d, *J* = 13.2 Hz, 1H), 3.69 (d, *J* = 13.2 Hz, 1H), 2.38 (s, 3H).

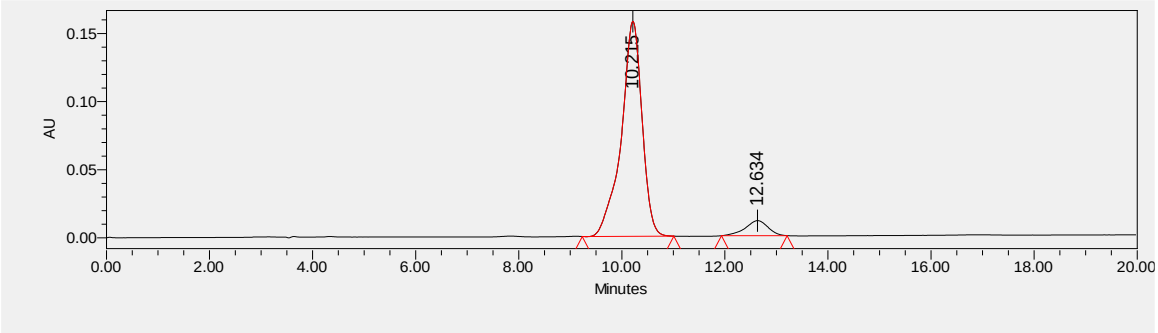
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.0, 145.6, 141.2, 135.2, 133.8, 131.9, 130.6, 130.4, 130.2, 129.3, 129.2, 128.3, 127.4, 127.3, 123.0, 121.9, 109.9, 76.1, 34.8, 21.7.

IR: 3270, 1734, 1694, 1471,1319, 1083, 702, 601, 572 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}^{34.9689}ClNO_3SNa^+$ 434.0589; found 434.0591; $C_{22}H_{18}^{36.9659}ClNO_3SNa^+$ 436.0559; found 436.0559.

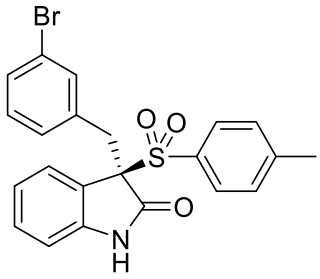


	Retention Time	Area	% Area
1	11.098	3424183	50.06
2	13.584	3416071	49.94



	Retention Time	Area	% Area
1	10.215	4425143	92.88
2	12.634	339132	7.12

(R)-3-(3-bromobenzyl)-3-tosylindolin-2-one (C4)



C4

White solid; 44.1 mg, 97% yield, 94:6 er; melting point: 253-255 °C; $[\alpha]_D^{24.1}$ = -41.1 (*c* = 0.70 in CH_2Cl_2).

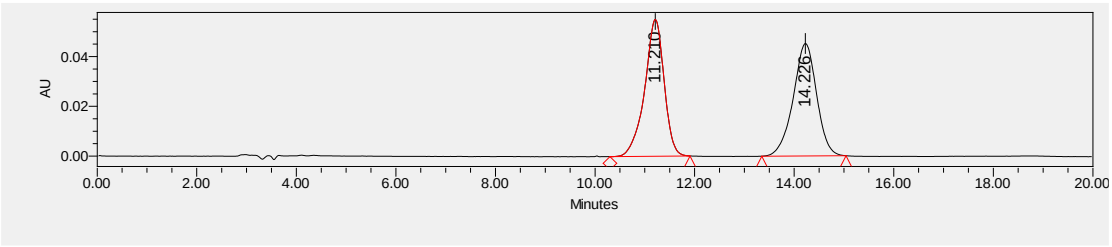
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.35 min, t_R(minor) = 13.25 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.76 (d, *J* = 7.2 Hz, 1H), 7.70 (s, 1H), 7.47 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.11 (m, 5H), 7.09 (s, 1H), 6.85 (m, 2H), 6.53 (d, *J* = 7.6 Hz, 1H), 3.81 (d, *J* = 13.2 Hz, 1H), 3.68 (d, *J* = 13.2 Hz, 1H), 2.38 (s, 3H).

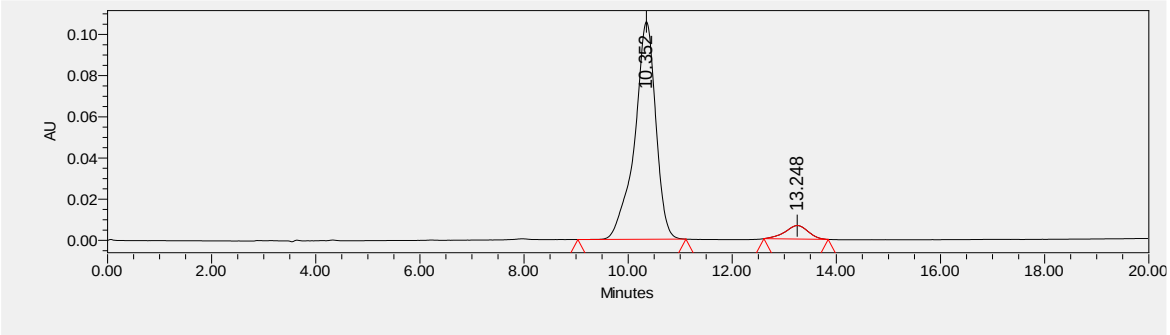
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.1, 145.6, 141.3, 135.4, 133.2, 131.9, 130.6, 130.4, 130.4, 129.6, 129.2, 128.8, 127.3, 123.0, 122.0, 121.9, 110.0, 76.1, 34.8, 21.7.

IR: 3269, 1733, 1693, 1471, 1318, 1112, 1083, 756, 662, 598 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}^{78.9183}BrNO_3SNa^+$ 478.0083; found 478.0087; $C_{22}H_{18}^{80.9163}BrNO_3SNa^+$ 480.0063; found 480.0066.

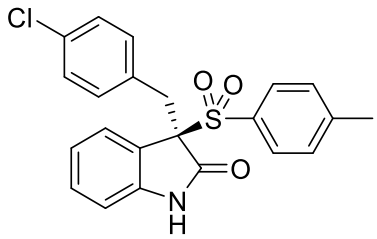


	Retention Time	Area	% Area
1	11.210	1489715	50.21
2	14.226	1477049	49.79



	Retention Time	Area	% Area
1	10.352	2979247	93.65
2	13.248	202078	6.35

(R)-3-(4-chlorobenzyl)-3-tosylindolin-2-one (C5)



C5

White solid; 37.8 mg, 92% yield, 95:5 er; melting point: 263-265 °C; $[\alpha]_D^{24.4}$ = -38.9 (*c* = 0.56 in CH_2Cl_2).

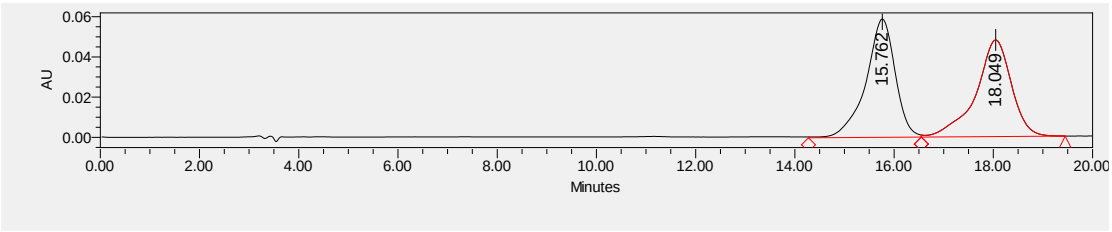
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.48 min, t_R(minor) = 16.49 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.50 (s, 1H), 7.67 (d, *J* = 7.6 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.17 (m, 1H), 7.13 (d, *J* = 8.0 Hz, 2H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.94 (d, *J* = 8.0 Hz, 2H), 6.50 (d, *J* = 7.6 Hz, 1H), 3.69 (d, *J* = 13.2 Hz, 1H), 3.57 (d, *J* = 13.2 Hz, 1H), 2.36 (s, 3H).

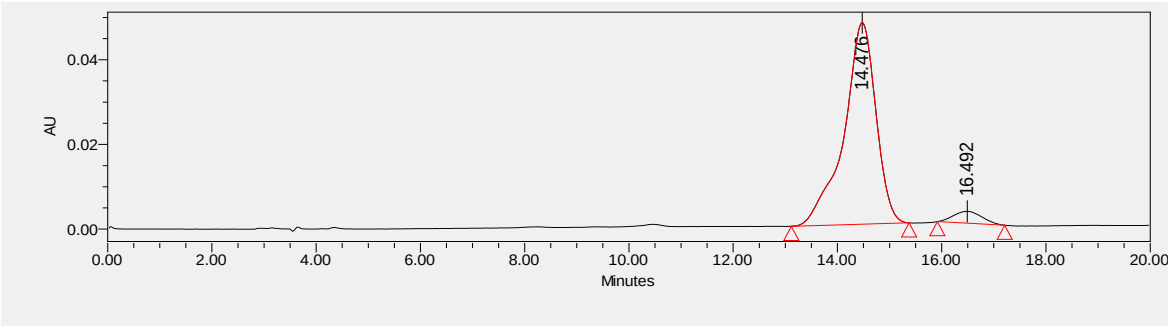
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.8, 145.8, 143.3, 133.0, 132.3, 132.3, 132.3, 131.0, 130.4, 129.7, 128.4, 127.6, 122.3, 121.8, 110.1, 76.1, 34.2, 21.6.

IR: 3258, 1735, 1699, 1320, 1144, 1084, 675, 570, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}{}^{34.9689}ClNO_3SNa^+$ 434.0589; found 434.0594; $C_{22}H_{18}{}^{36.9659}ClNO_3SNa^+$ 436.0559; found 436.0565.

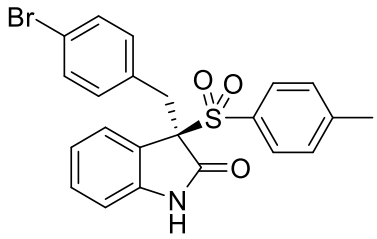


	Retention Time	Area	% Area
1	15.762	2409612	50.23
2	18.049	2387940	49.77



	Retention Time	Area	% Area
1	14.476	2035174	95.07
2	16.492	105460	4.93

(R)-3-(4-bromobenzyl)-3-tosylindolin-2-one (C6)



C6

White solid; 39.1 mg, 86% yield, 95.5:4.5 er; melting point: 269-271 °C; $[\alpha]_D^{24.4}$ = -51.9 (*c* = 0.16 in CH_2Cl_2).

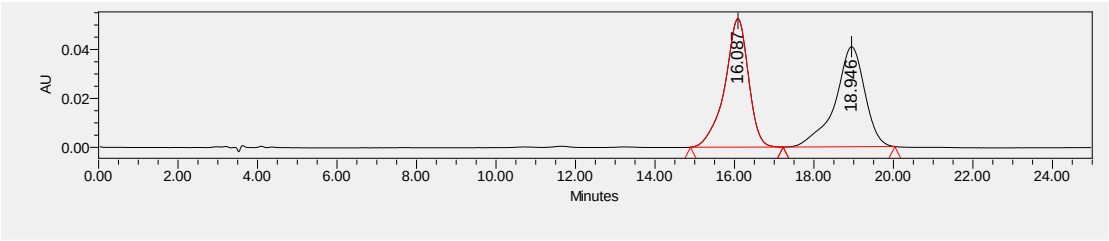
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.78 min, t_R(minor) = 17.29 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.49 (s, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.26 (d, *J* = 8.0 Hz, 2H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.07 (t, *J* = 7.6 Hz, 1H), 6.87 (d, *J* = 8.0 Hz, 2H), 6.49 (d, *J* = 7.6 Hz, 1H), 3.67 (d, *J* = 12.8 Hz, 1H), 3.54 (d, *J* = 12.8 Hz, 1H), 2.36 (s, 3H).

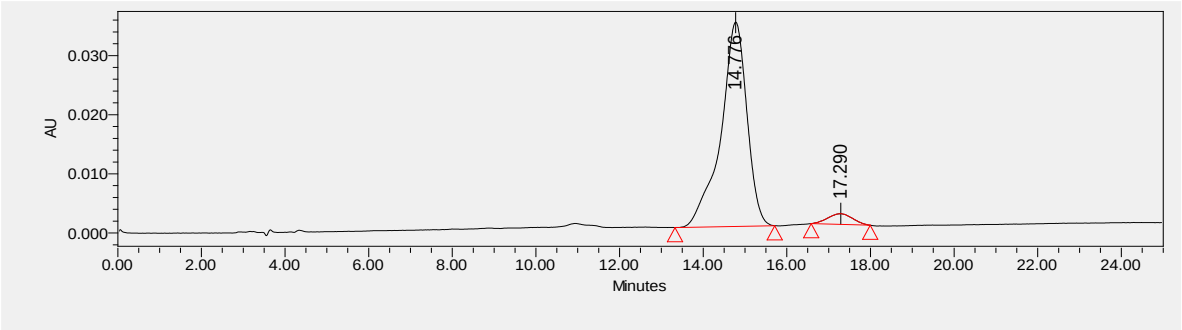
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.8, 145.8, 143.3, 133.4, 132.6, 132.3, 131.3, 131.1, 130.4, 129.7, 127.6, 122.3, 121.8, 120.9, 110.1, 76.0, 34.2, 21.6.

IR: 3260, 1734,1698, 1321, 1145, 808, 569, 524 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}^{78.9183}BrNO_3SNa^+$ 478.0083; found 478.0091; $C_{22}H_{18}^{80.9163}BrNO_3SNa^+$ 480.0063; found 480.0070.

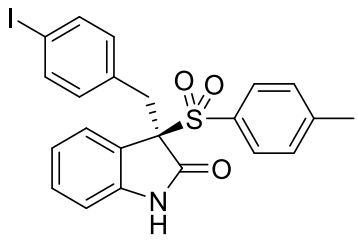


	Retention Time	Area	% Area
1	16.087	2163818	50.14
2	18.946	2151904	49.86



	Retention Time	Area	% Area
1	14.776	1504656	95.40
2	17.290	72493	4.60

(R)-3-(4-iodobenzyl)-3-tosylindolin-2-one (C7)



C7

White solid; 44.3 mg, 88% yield, 95:5 er; melting point: 252-254 °C; $[\alpha]_D^{24.9}$ = -50.8 (*c* = 0.72 in CH_2Cl_2).

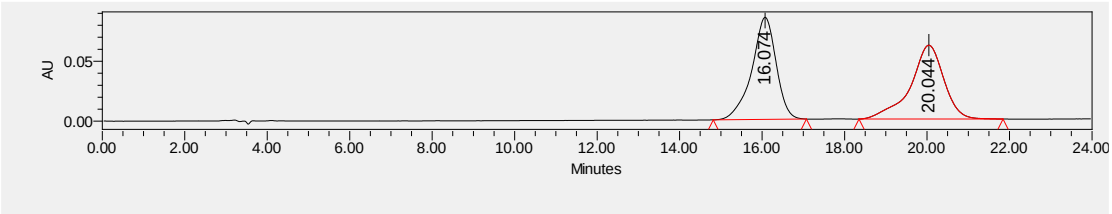
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.79 min, t_R(minor) = 18.22 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.50 (s, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.41 (m, 4H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.25 – 7.15 (m, 1H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 8.0 Hz, 2H), 6.50 (d, *J* = 7.6 Hz, 1H), 3.65 (d, *J* = 12.8 Hz, 1H), 3.53 (d, *J* = 12.8 Hz, 1H), 2.36 (s, 3H).

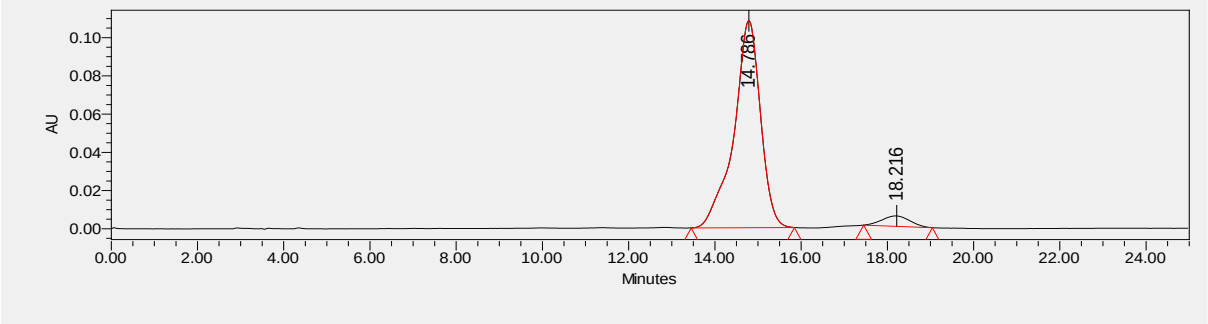
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.7, 145.8, 143.3, 137.2, 133.7, 132.8, 132.3, 131.1, 130.4, 129.7, 127.6, 122.3, 121.8, 110.1, 93.9, 76.0, 34.4, 21.6.

IR: 3260, 1733,1694, 1320, 1112, 704, 609, 521 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}INO_3SNa^+$ 525.9945; found 525.9942.

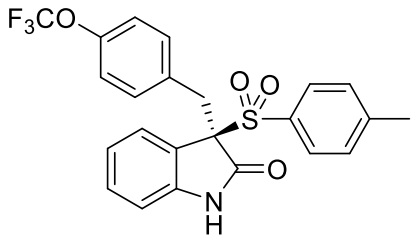


	Retention Time	Area	% Area
1	16.074	3499694	50.25
2	20.044	3465276	49.75



	Retention Time	Area	% Area
1	14.786	4646489	95.03
2	18.216	242892	4.97

(R)-3-tosyl-3-(4-(trifluoromethoxy)benzyl)indolin-2-one (C8)



C8

White solid; 40.1 mg, 87% yield, 91:9 er; melting point: 225-227 °C; $[\alpha]_D^{23.9}$ = -31.7 (*c* = 0.54 in CH_2Cl_2).

Dissolved in *i*-PrOH for HPLC; HPLC (Chiral AZH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 12.79 min, t_R(minor) = 11.53 min.

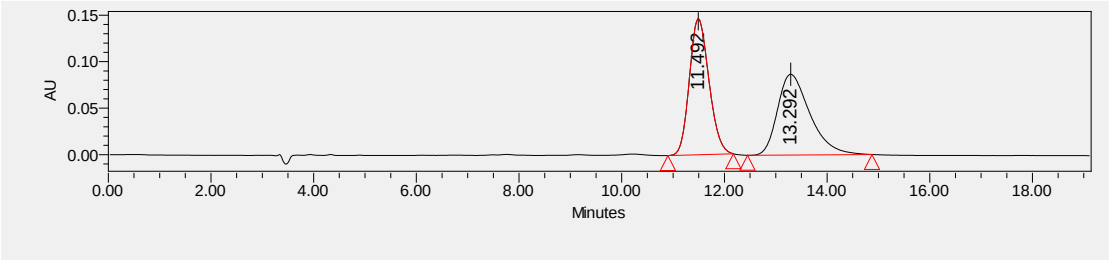
^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.84 – 7.70 (m, 2H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.21 (m, 1H), 7.18 – 7.10 (m, 3H), 7.01 – 6.90 (m, 2H), 6.85 (d, *J* = 8.0 Hz, 2H), 6.52 (d, *J* = 7.6 Hz, 1H), 3.87 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H), 2.37 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.0, 148.3, 145.6, 141.3, 131.8, 131.6, 130.6, 130.4, 129.2, 127.2, 123.0, 121.9, 121.6, 120.4, 110.0, 76.1, 34.4, 21.7.

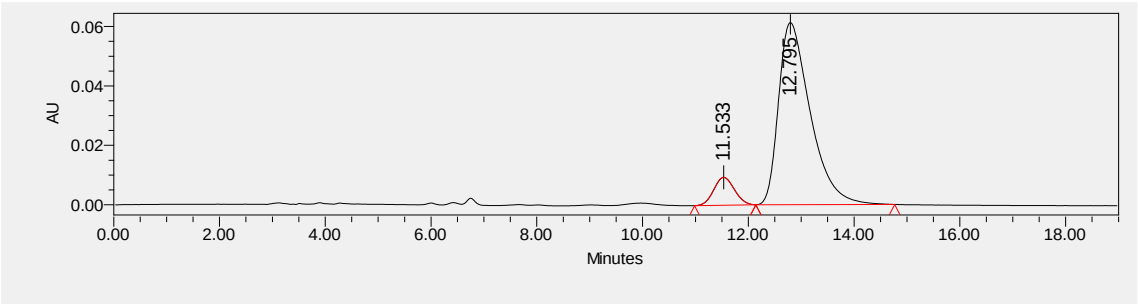
^{19}F NMR (376 MHz, $CDCl_3$) *δ* 57.92.

IR: 3262, 1734, 1696, 1262, 1217, 1145, 754, 663, 605, 569 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{18}F_3NO_4SNa^+$ 484.0801; found 484.0809.

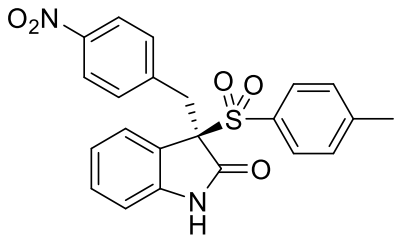


	Retention Time	Area	% Area
1	11.492	3867292	50.42
2	13.292	3803175	49.58



	Retention Time	Area	% Area
1	11.533	253220	9.02
2	12.795	2552897	90.98

(R)-3-(4-nitrobenzyl)-3-tosylindolin-2-one (C9)



C9

Yellow solid; 37.1 mg, 88% yield, 90:10 er; melting point: 252-254 °C; $[\alpha]_D^{24.2}$ = -30.2 (*c* = 0.52 in CH_2Cl_2).

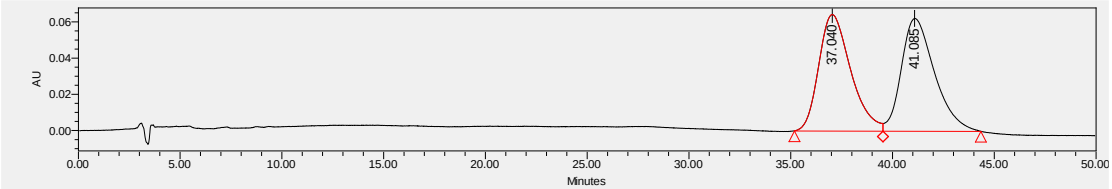
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral AZH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 36.37 min, t_R(minor) = 41.67 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.65 (s, 1H), 8.06 – 7.95 (m, 2H), 7.77 (m, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.39 (d, *J* = 8.0 Hz, 2H), 7.33 – 7.20 (m, 3H), 7.15 (m, 1H), 6.55 (d, *J* = 7.6 Hz, 1H), 3.95 (d, *J* = 12.8 Hz, 1H), 3.76 (d, *J* = 12.8 Hz, 1H), 2.42 (s, 3H).

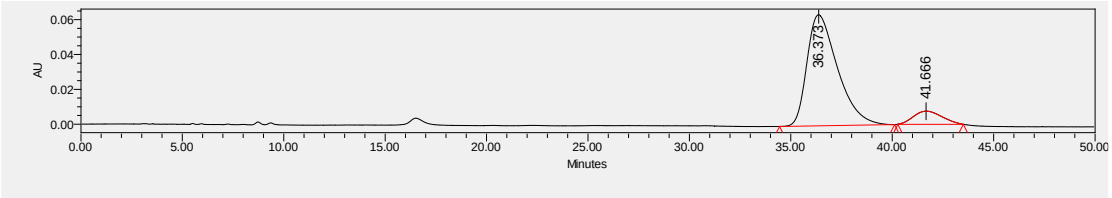
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.6, 147.0, 146.0, 143.2, 142.1, 132.0, 131.9, 131.3, 130.4, 129.8, 127.7, 123.5, 122.5, 121.4, 110.2, 75.9, 34.4, 21.6.

IR: 3256, 1736, 1700, 1520, 1347, 1319, 1111, 1084, 760, 700, 621, 526 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}N_2O_5SNa^+$ 445.0829; found 445.0834.

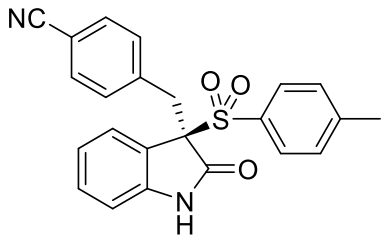


	Retention Time	Area	% Area
1	37.040	7030353	49.86
2	41.085	7071018	50.14



	Retention Time	Area	% Area
1	36.373	6670226	90.10
2	41.666	732640	9.90

(R)-4-((2-oxo-3-tosylindolin-3-yl)methyl)benzonitrile (C10)



C10

White solid; 32.6 mg, 81% yield, 90:10 er;melting point: 248-250 °C; $[\alpha]_D^{24.7}$ = -42.7 (*c* = 0.48 in CH_2Cl_2/CH_3OH = 4/1).

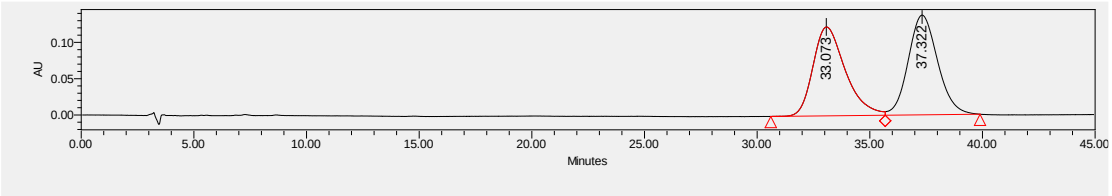
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral AZH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 32.71 min, t_R(minor) = 38.19 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.57 (s, 1H), 7.70 (m, 1H), 7.62 – 7.53 (m, 2H), 7.39 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.20 (m, 1H), 7.16 – 7.11 (m, 2H), 7.09 (m, 1H), 6.49 (d, *J* = 7.6 Hz, 1H), 3.82 (d, *J* = 12.8 Hz, 1H), 3.66 (d, *J* = 12.8 Hz, 1H), 2.36 (s, 3H).

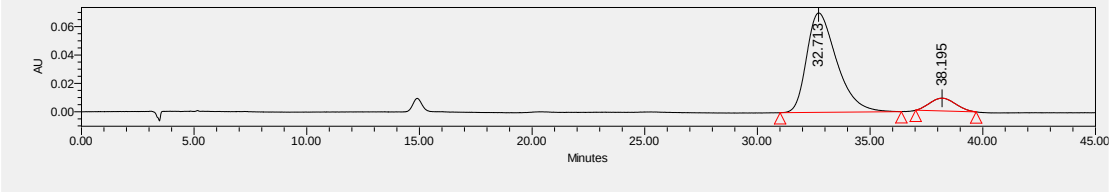
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.6, 145.9, 143.2, 134.0, 132.3, 132.1, 131.5, 131.2, 130.4, 129.8, 127.7, 122.4, 121.5, 118.9, 110.4, 110.2, 75.9, 34.6, 21.6.

IR: 3245, 1737, 1702, 1320, 1115, 1084, 761, 702, 550, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{18}N_2O_3SNa^+$ 425.0931; found 425.0923.

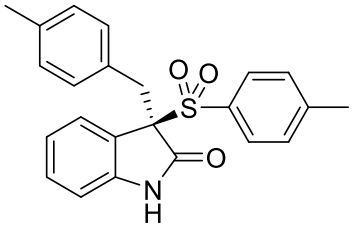


	Retention Time	Area	% Area
1	33.083	12851704	49.46
2	37.318	13129740	50.54



	Retention Time	Area	% Area
1	32.713	6486607	90.08
2	38.195	714466	9.92

(R)-3-(4-methylbenzyl)-3-tosylindolin-2-one (C11)



C11

White solid; 30.9 mg, 79% yield, 95:5 er; melting point: 260-262 °C; $[\alpha]_D^{24.2}$ = -57.7 (*c* = 0.56 in CH_2Cl_2).

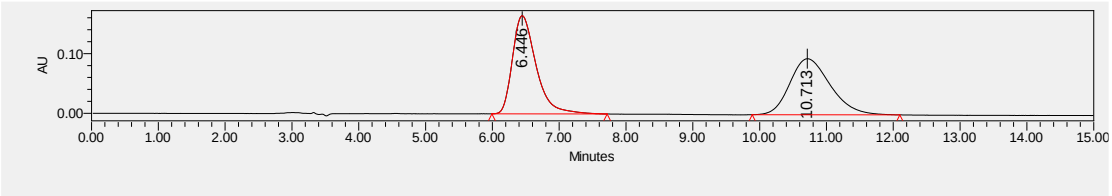
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.71 min, t_R(minor) = 6.56 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.77 (m, 1H), 7.61 (s, 1H), 7.52 – 7.41 (m, 2H), 7.23 – 7.09 (m, 4H), 6.86 – 6.75 (m, 4H), 6.49 (m, 1H), 3.82 (d, *J* = 13.2 Hz, 1H), 3.69 (d, *J* = 13.2 Hz, 1H), 2.37 (s, 3H), 2.13 (s, 3H).

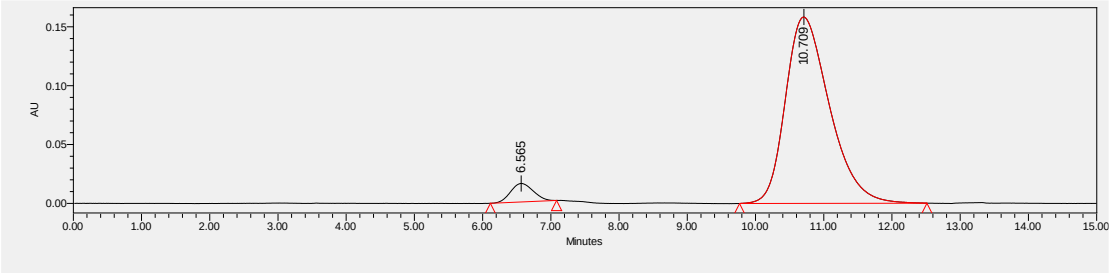
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 145.4, 141.3, 136.7, 132.1, 130.4, 130.3, 130.0, 129.9, 129.1, 128.8, 127.4, 122.8, 122.3, 109.8, 76.4, 34.8, 21.7, 21.0.

IR: 3274, 1732, 1696, 1318, 1113, 1084, 835, 763, 702, 569 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{21}NO_3SNa$+ 414.1135; found 414.1137.

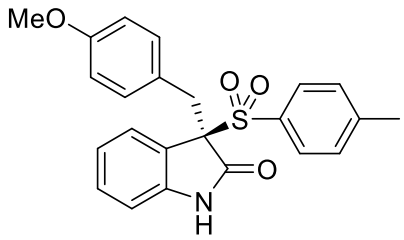


	Retention Time	Area	% Area
1	6.446	4033813	50.53
2	10.713	3949775	49.47



	Retention Time	Area	% Area
1	6.565	363570	4.87
2	10.709	7096748	95.13

(R)-3-(4-methoxybenzyl)-3-tosylindolin-2-one (C12)



C12

White solid; 32.1 mg, 79% yield, 94:6 er; melting point: 247-249 °C; $[\alpha]_D^{24.8}$ = -51.4 (*c* = 0.22 in CH_2Cl_2).

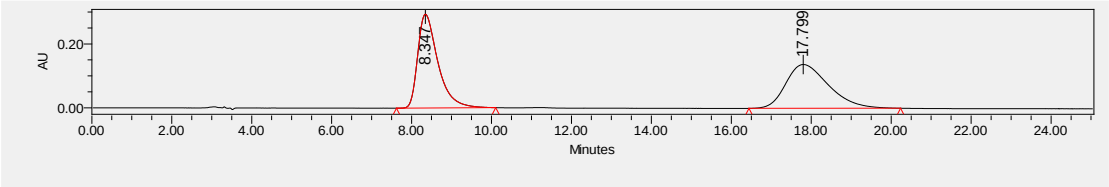
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 17.07 min, t_R(minor) = 8.43 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.82 – 7.71 (m, 2H), 7.50 – 7.40 (m, 2H), 7.23 – 7.08 (m, 4H), 6.89 – 6.78 (m, 2H), 6.50 (m, 3H), 3.81 (d, *J* = 13.2 Hz, 1H), 3.67 (d, *J* = 13.2 Hz, 1H), 3.58 (s, 3H), 2.37 (s, 3H).

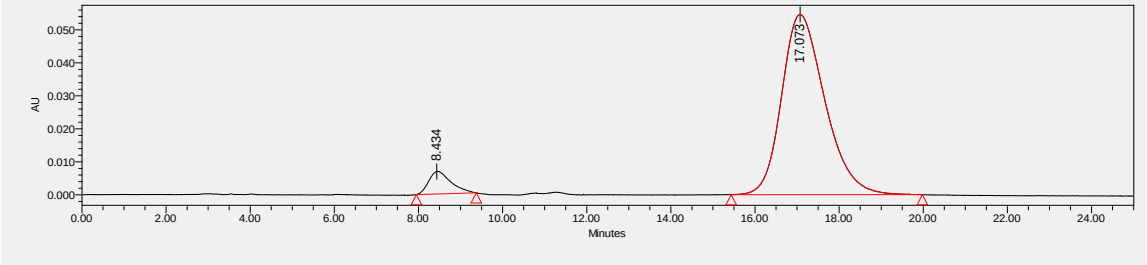
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.5, 158.5, 145.4, 141.4, 132.1, 131.2, 130.4, 130.3, 129.14 127.3, 124.9, 122.8, 122.4, 113.5, 109.9, 76.4, 55.0, 34.3, 21.7.

IR: 3266, 1731, 1693, 1511, 1250, 1177, 737, 627, 549 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{21}NO_4SNa^+$ 430.1084; found 430.1087.

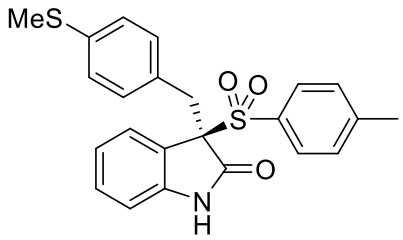


	Retention Time	Area	% Area
1	8.347	10291081	50.21
2	17.799	10206566	49.79



	Retention Time	Area	% Area
1	8.434	251955	6.07
2	17.073	3897043	93.93

(R)-3-(4-(methylthio)benzyl)-3-tosylindolin-2-one (C13)



C13

Yellow solid; 31.3 mg, 74% yield, 94.5:5.5 er; melting point: 254-255 °C; $[\alpha]_D^{24.7}$ = -63.1 (*c* = 0.54 in CH_2Cl_2).

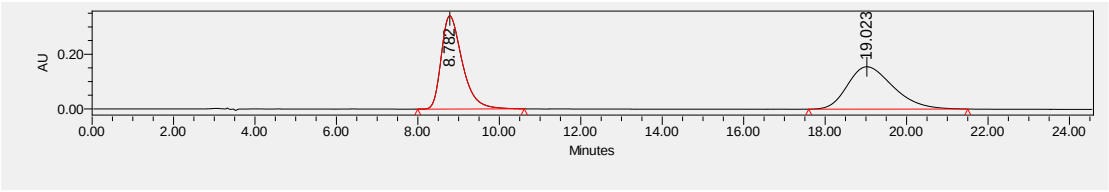
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 18.01 min, t_R(minor) = 8.80 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.50 (s, 1H), 7.67 (m, 1H), 7.39 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.20 (td, *J* = 7.6, 1.2 Hz, 1H), 7.08 (td, *J* = 7.6, 1.2 Hz, 1H), 6.98 – 6.89 (m, 2H), 6.86 (m, 2H), 6.49 (d, *J* = 7.6 Hz, 1H), 3.64 (d, *J* = 13.2 Hz, 1H), 3.54 (d, *J* = 13.2 Hz, 1H), 2.36 (s, 3H), 2.33 (s, 3H).

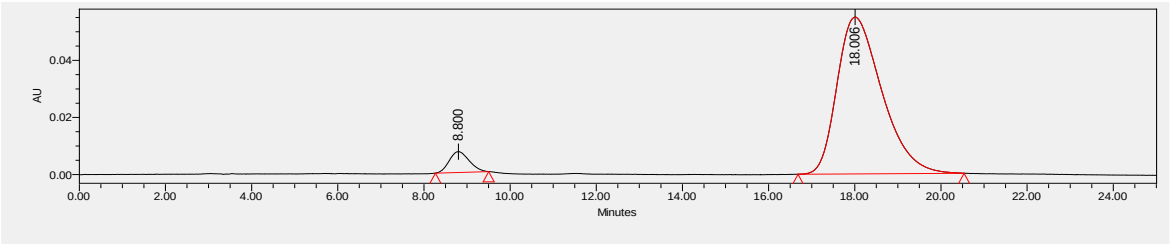
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.8, 145.8, 143.3, 137.3, 132.4, 131.0, 130.4, 130.2, 129.7, 127.6, 125.6, 122.3, 122.0, 110.1, 76.1, 34.3, 21.6, 14.7.

IR: 3269, 1733, 1694, 1318, 1144, 1083, 810, 753, 672, 527, 490 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{21}NO_3S_2Na^+$ 446.0856; found 446.0859.

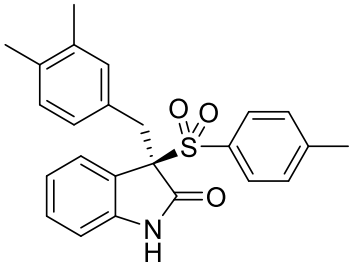


	Retention Time	Area	% Area
1	8.782	12202654	50.29
2	19.023	12063514	49.71



	Retention Time	Area	% Area
1	8.800	235667	5.61
2	18.006	3967784	94.39

(R)-3-(3,4-dimethylbenzyl)-3-tosylindolin-2-one (C14)



C14

White solid; 33.6 mg, 83% yield, 95.5:4.5 er; melting point: 261-263 °C; $[\alpha]_D^{23.9}$ = -56.3 (*c* = 0.60 in CH_2Cl_2).

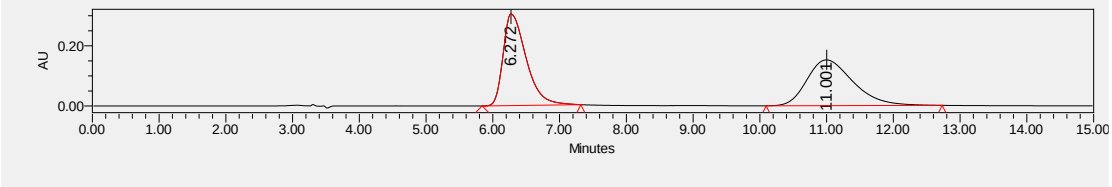
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.61 min, t_R(minor) = 6.29 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.78 (m, 1H), 7.57 (s, 1H), 7.52 – 7.43 (m, 2H), 7.22 – 7.08 (m, 4H), 6.80 – 6.66 (m, 2H), 6.63 (m, 1H), 6.53 – 6.44 (m, 1H), 3.78 (d, *J* = 13.2 Hz, 1H), 3.67 (d, *J* = 13.2 Hz, 1H), 2.37 (s, 3H), 2.04 (s, 3H), 1.99 (s, 3H).

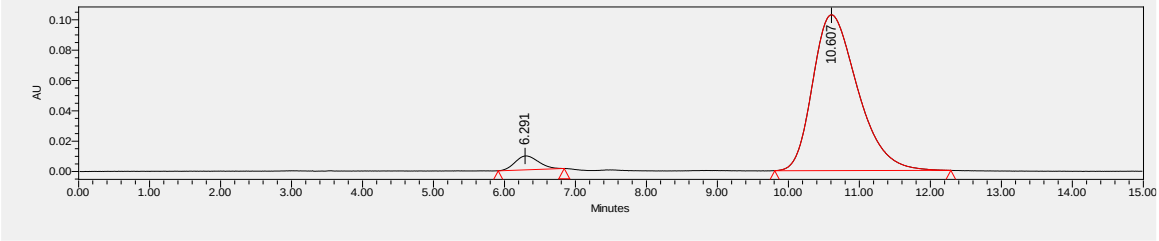
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 145.4, 141.4, 136.2, 135.3, 132.1, 131.5, 130.4, 130.3, 130.3, 129.3, 129.1, 127.4, 127.4, 122.7, 122.4, 109.8, 76.4, 34.8, 21.7, 19.5, 19.3.

IR: 3274, 1733, 1695, 1317, 1179, 1084, 755, 665, 598, 528 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{23}NO_3SNa^+$ 428.1291; found 428.1296.

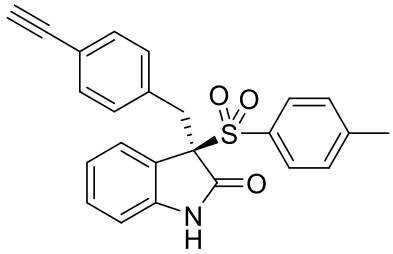


	Retention Time	Area	% Area
1	6.272	7314779	50.01
2	11.001	7311732	49.99



	Retention Time	Area	% Area
1	6.291	210822	4.46
2	10.607	4516255	95.54

(R)-3-(4-ethynylbenzyl)-3-tosylindolin-2-one (C15)



C15

White solid; 30.5 mg, 76% yield, 93.5:6.5 er; melting point: 250-252 °C; $[\alpha]_D^{24.5}$ = -60.2 (*c* = 0.46 in CH_2Cl_2).

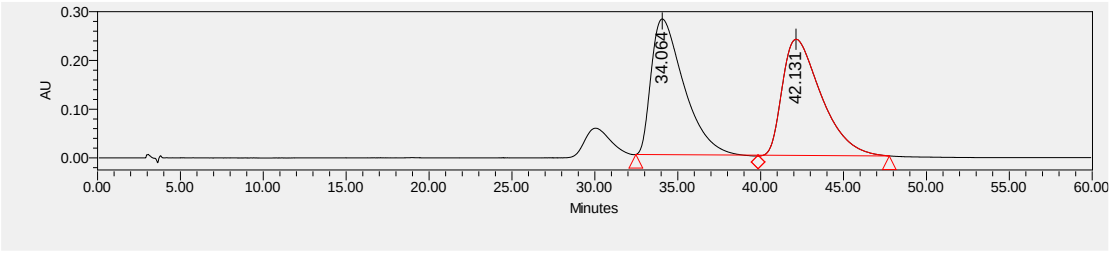
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 5/95, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 41.89 min, t_R(minor) = 35.58 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.76 (m, 1H), 7.66 (s, 1H), 7.47 (m, 2H), 7.17 (m, 6H), 6.94 – 6.86 (m, 2H), 6.54 – 6.42 (m, 1H), 3.85 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H), 2.98 (s, 1H), 2.37 (s, 3H).

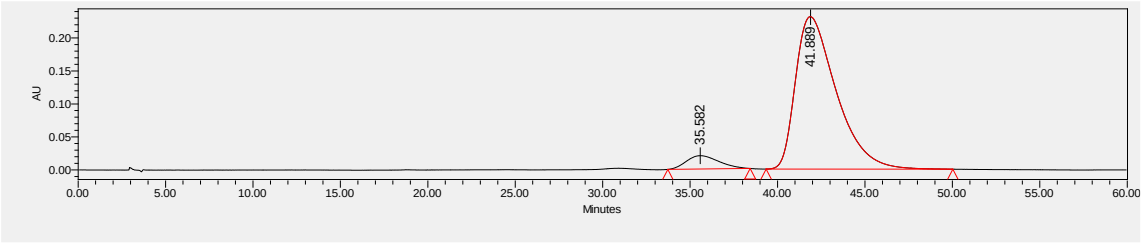
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.1, 145.6, 141.3, 136.2, 134.0, 131.9, 131.9, 130.6, 130.4, 130.4, 130.2, 129.2, 129.2, 127.3, 126.0, 122.9, 122.9, 121.9, 120.9, 110.0, 83.2, 77.6, 76.1, 35.1, 21.8.

IR: 3278, 1737, 1697, 1319, 1113, 1083, 752, 661, 545, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{19}NO_3SNa^+$ 424.0978; found 424.0982.

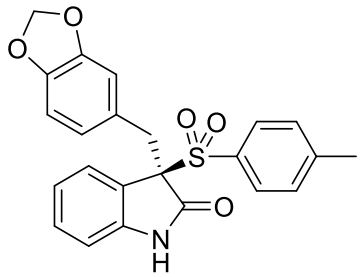


	Retention Time	Area	% Area
1	34.064	38298249	49.61
2	42.131	38898175	50.39



	Retention Time	Area	% Area
1	35.582	2615509	6.53
2	41.889	37419846	93.47

(R)-3-(benzo[d][1,3]dioxol-5-ylmethyl)-3-tosylindolin-2-one (C16)



C16

White solid; 34.9 mg, 83% yield, 93.5:6.5 er; melting point: 251-253 °C; $[\alpha]_D^{24.5}$ = -41.8 (*c* = 0.68 in CH_2Cl_2/CH_3OH = 4/1).

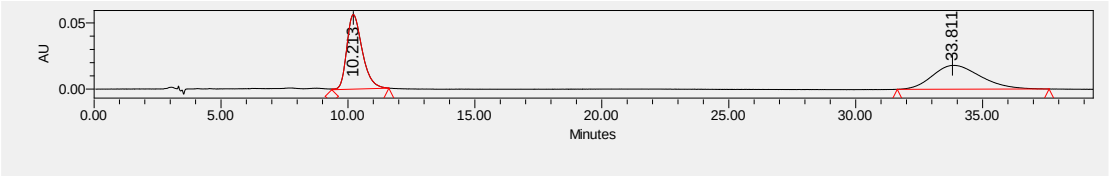
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 31.50 min, t_R(minor) = 10.21 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.53 (s, 1H), 7.67 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.20 (td, *J* = 7.6, 1.2 Hz, 1H), 7.08 (td, *J* = 7.6, 1.2 Hz, 1H), 6.61 (d, *J* = 8.0 Hz, 1H), 6.51 (d, *J* = 7.6 Hz, 1H), 6.47 – 6.38 (m, 2H), 5.95 – 5.69 (m, 2H), 3.61 (d, *J* = 13.2 Hz, 1H), 3.51 (d, *J* = 13.2 Hz, 1H), 2.36 (s, 3H).

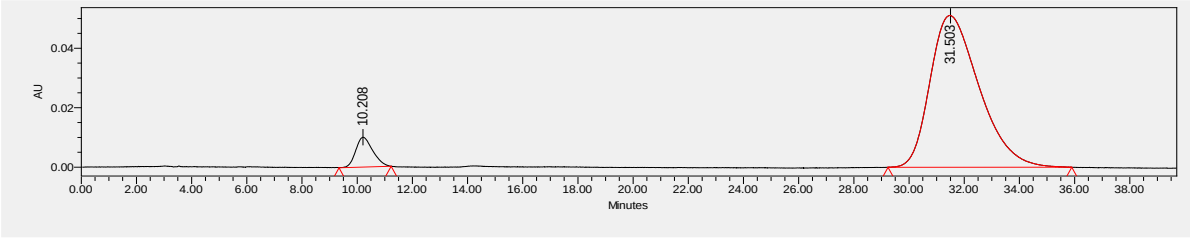
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.9, 147.1, 146.6, 145.7, 143.4, 132.4, 130.9, 130.4, 129.7, 127.6, 127.3, 123.8, 122.3, 122.0, 110.5, 110.1, 108.3, 101.3, 76.3, 34.4, 21.6.

IR: 3273, 1735, 1695, 1490, 1317, 1253, 1145, 1040, 810, 666, 606, 529 cm-1.

HRMS (FTMS+c ESI) m/z: [M + Na]+ calcd for $C_{23}H_{19}NO_5SNa$+ 444.0877; found 444.0883.

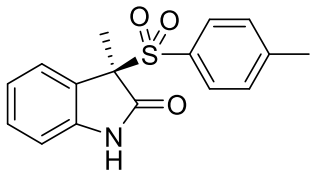


	Retention Time	Area	% Area
1	10.213	2378286	50.03
2	33.811	2375250	49.97



	Retention Time	Area	% Area
1	10.208	430020	6.38
2	31.503	6305191	93.62

(R)-3-methyl-3-tosylindolin-2-one (C17)



C17

White solid; 28.9 mg, 96% yield, 94:6 er; melting point: 226-227 °C; $[\alpha]_D^{24.6}$ = -27.4 (*c* = 0.34 in CH_2Cl_2).

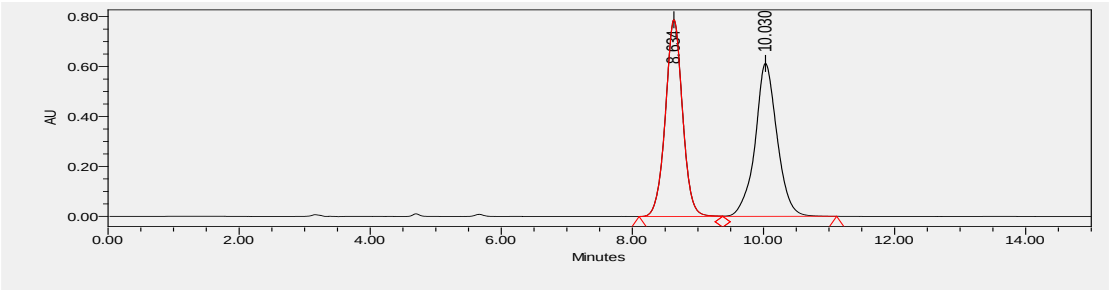
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 8.84 min, t_R(minor) = 10.41 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (s, 1H), 7.61 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.50 – 7.43 (m, 2H), 7.33 – 7.27 (m, 1H), 7.22 – 7.07 (m, 3H), 6.76 (d, *J* = 7.6 Hz, 1H), 2.39 (s, 3H), 1.90 (s, 3H).

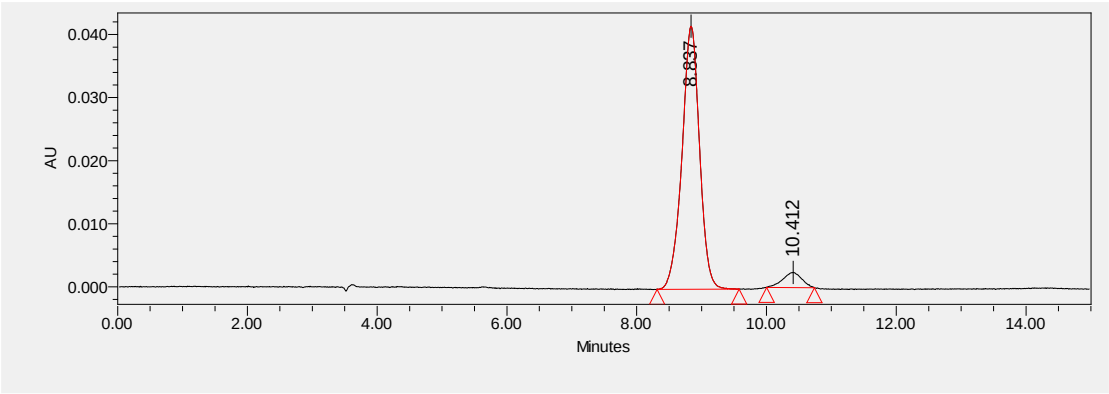
^{13}C NMR (101 MHz, $CDCl_3$) δ 173.1, 145.5, 141.0, 131.6, 130.5, 130.3, 130.3, 129.1, 126.4, 124.7, 123.2, 110.2, 71.1, 21.8, 16.3.

IR: 3290, 1730, 1617, 1472, 1317, 1071, 753, 664, 573, 526 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{15}NO_3SNa^+$ 324.0665; found 324.0666.

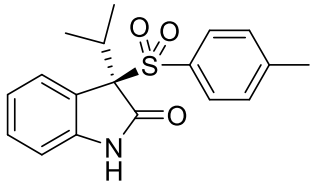


	Retention Time	Area	% Area
1	8.634	14293842	50.03
2	10.030	14278752	49.97



	Retention Time	Area	% Area
1	8.837	784482	94.04
2	10.412	49714	5.96

(R)-3-isopropyl-3-tosylindolin-2-one (C18)



C18

White solid; 29.6 mg, 90% yield, 96:4 er; melting point: 246-248 °C; $[\alpha]_D^{24.3}$ = 67.4 (*c* = 0.42 in CH_2Cl_2).

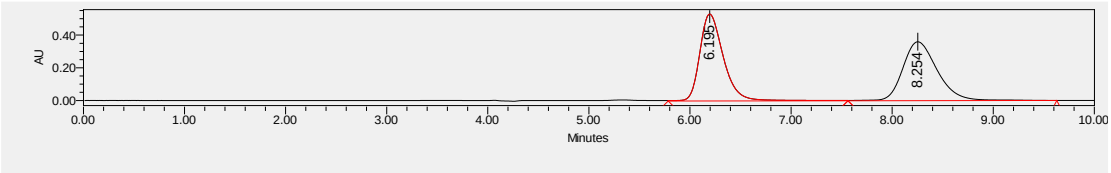
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 6.55 min, t_R(minor) = 8.84 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.20 (s, 1H), 7.84 – 7.73 (m, 1H), 7.38 – 7.28 (m, 3H), 7.16 (m, 1H), 7.09 (d, *J* = 8.0 Hz, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 3.07 (m, 1H), 2.35 (s, 3H), 1.46 (d, *J* = 6.8 Hz, 3H), 0.80 (d, *J* = 6.8 Hz, 3H).

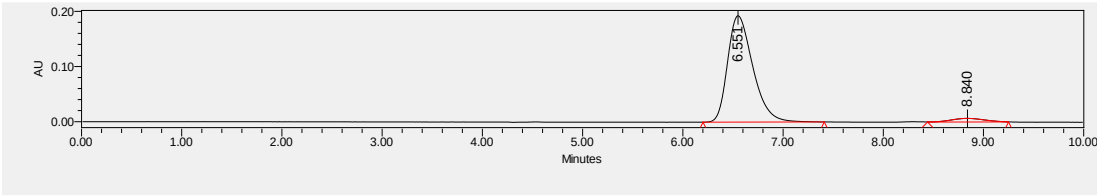
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 172.7, 145.1, 141.8, 133.3, 130.3, 130.0, 129.0, 128.3, 122.9, 121.8, 109.9, 78.7, 31.8, 21.7, 18.6, 18.4.

IR: 3154, 1730, 1616, 1468, 1317, 1146, 760, 689, 620, 526 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{18}H_{19}NO_3SNa^+$ 352.0978; found 352.0977.

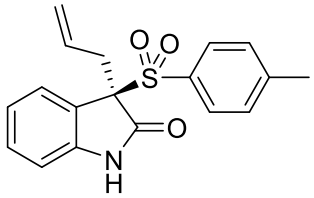


	Retention Time	Area	% Area
1	6.195	8644650	50.38
2	8.254	8515008	49.62



	Retention Time	Area	% Area
1	6.551	3367855	95.76
2	8.840	149020	4.24

(R)-3-allyl-3-tosylindolin-2-one (C19)



C19

White solid; 30.7 mg, 94% yield, 91.5:8.5 er; melting point: 180-182 °C; $[\alpha]_D^{24.6}$ = -40.0 (*c* = 0.38 in CH_2Cl_2).

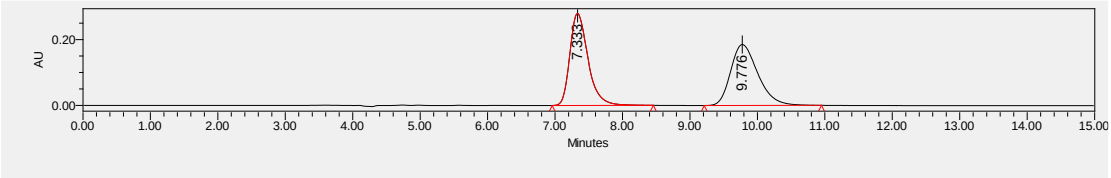
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 7.23 min, t_R(minor) = 9.74 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.35 (s, 1H), 7.62 (d, *J* = 7.2 Hz, 1H), 7.45 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.27 (m, 1H), 7.16 (d, *J* = 8.0 Hz, 3H), 6.72 (d, *J* = 8.0 Hz, 1H), 5.35 – 5.20 (m, 1H), 5.08 (m, 1H), 4.92 (m, 1H), 3.22 (d, *J* = 6.8 Hz, 2H), 2.38 (s, 3H).

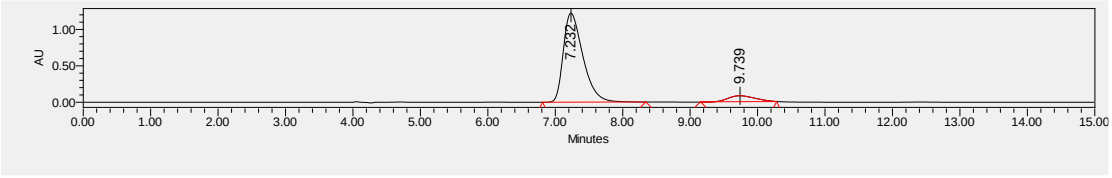
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.8, 145.5, 141.6, 131.9, 130.5, 130.3, 130.4, 129.4, 129.2, 126.9, 123.1, 122.4, 121.0, 110.1, 74.9, 34.0, 21.8.

IR: 3269, 1731, 1694, 1616, 1470, 1318, 1100, 1084, 756, 703, 662, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{18}H_{17}NO_3SNa^+$ 350.0822; found 350.0824.

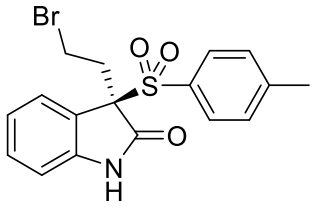


	Retention Time	Area	% Area
1	7.333	5229582	50.18
2	9.776	5192815	49.82



	Retention Time	Area	% Area
1	7.232	24666102	91.60
2	9.739	2261122	8.40

(R)-3-(2-bromoethyl)-3-tosylindolin-2-one (C20)



C20

White solid; 28.4 mg, 72% yield, 90.5:9.5 er; melting point: 149-151 °C; $[\alpha]_D^{24.7}$ = -21.7 (*c* = 0.58 in CH_2Cl_2).

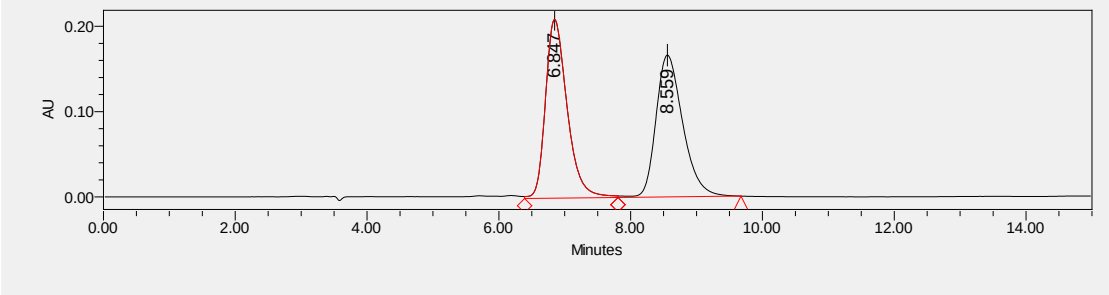
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 6.75 min, t_R(minor) = 8.44 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.40 (s, 1H), 7.60 (m, 1H), 7.46 – 7.38 (m, 2H), 7.33 (m, 1H), 7.22 – 7.10 (m, 3H), 6.77 (d, *J* = 7.6 Hz, 1H), 3.15 – 3.00 (m, 4H), 2.39 (s, 3H).

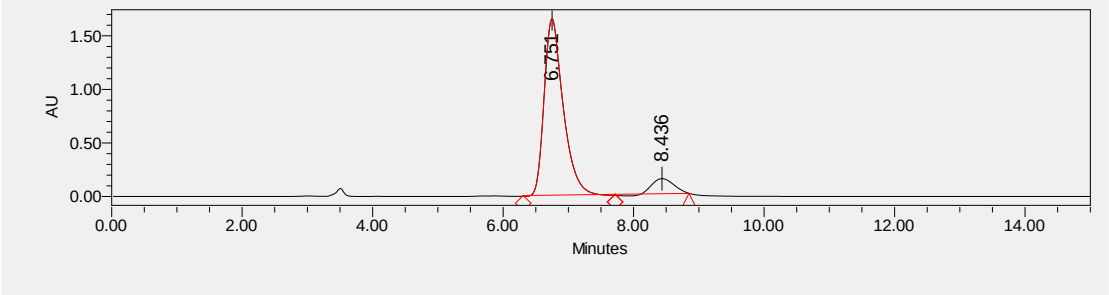
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.5, 145.9, 141.7, 131.2, 131.0, 130.4, 130.4, 129.2, 129.0, 126.8, 123.4, 121.3, 110.5, 74.9, 32.6, 25.8, 21.8.

IR: 3244, 1734, 1695, 1319, 1146, 1113, 754, 703, 580, 528 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{16}^{78.9183}BrNO_3SNa^+$ 415.9927; found 415.9932; $C_{17}H_{16}^{80.9163}BrNO_3SNa^+$ 417.9906; found 417.9910.

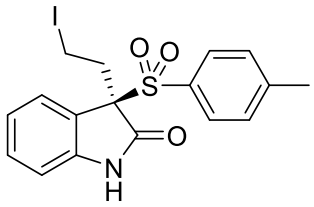


	Retention Time	Area	% Area
1	6.847	4784787	50.49
2	8.559	4692127	49.51



	Retention Time	Area	% Area
1	6.751	33334453	90.27
2	8.436	3591924	9.73

(R)-3-(2-iodoethyl)-3-tosylindolin-2-one (C21)



C21

White solid; 41.4 mg, 94% yield, 91:9 er; melting point: 159-160 °C; $[\alpha]_D^{24.5}$ = -29.0 (*c* = 0.60 in CH_2Cl_2).

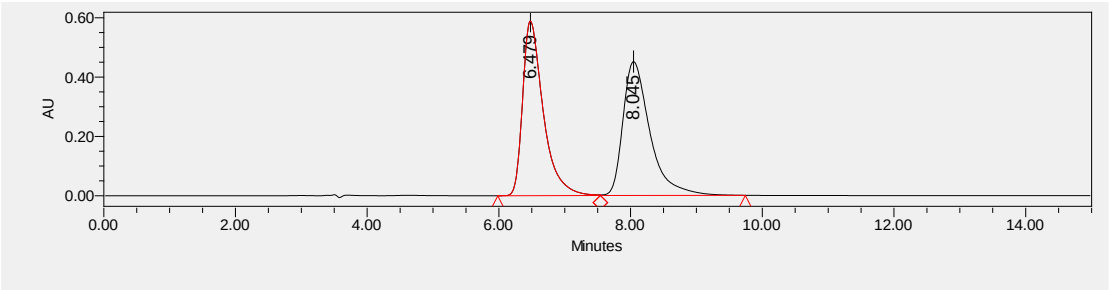
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 6.39 min, t_R(minor) = 7.92 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.53 (s, 1H), 7.60 (d, *J* = 7.6 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 2H), 7.34 (t, *J* = 8.0 Hz, 1H), 7.17 (d, *J* = 8.0 Hz, 3H), 6.78 (d, *J* = 7.6 Hz, 1H), 3.05 (m, 2H), 2.89 – 2.66 (m, 2H), 2.39 (s, 3H).

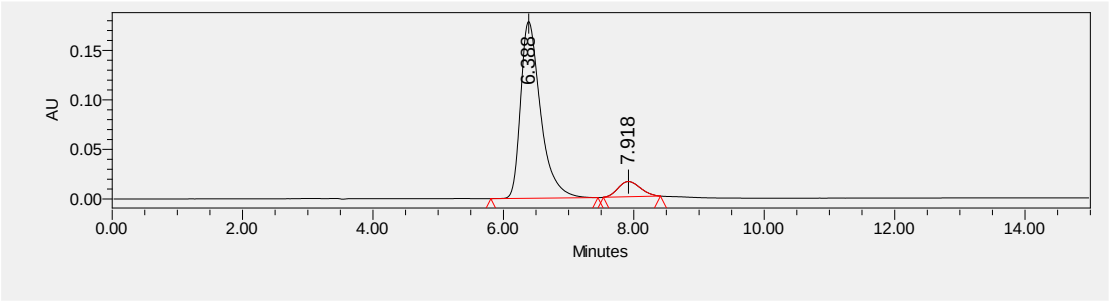
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 145.9, 141.8, 131.3, 131.0, 130.4, 129.3, 126.7, 123.5, 121.2, 110.6, 76.2, 33.0, 21.8, -4.8.

IR: 3273, 1727, 1692, 1470, 1319, 1144, 1082, 736, 663, 571, 526 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{16}INO_3SNa^+$ 463.9788; found 463.9795.

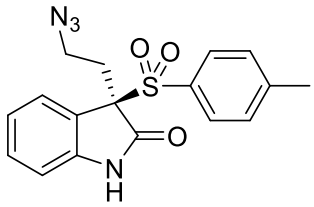


	Retention Time	Area	% Area
1	6.479	12793480	49.94
2	8.045	12822528	50.06



	Retention Time	Area	% Area
1	6.388	3776935	91.19
2	7.918	364893	8.81

(R)-3-(2-azidoethyl)-3-tosylindolin-2-one (C22)



C22

White solid; 35.3 mg, 99% yield, 92:8 er; melting point: 127-129 °C; $[\alpha]_D^{24.6}$ = -77.4 (*c* = 0.42 in CH_2Cl_2).

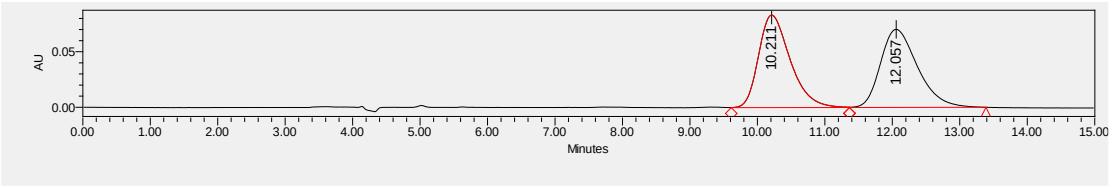
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.07 min, t_R(minor) = 12.19 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.40 (s, 1H), 7.58 (d, *J* = 7.6 Hz, 1H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.32 (m, 1H), 7.17 (mz, 3H), 6.76 (d, *J* = 7.6 Hz, 1H), 3.28 (m, 1H), 3.05 (m, 1H), 2.92 – 2.67 (m, 2H), 2.39 (s, 3H).

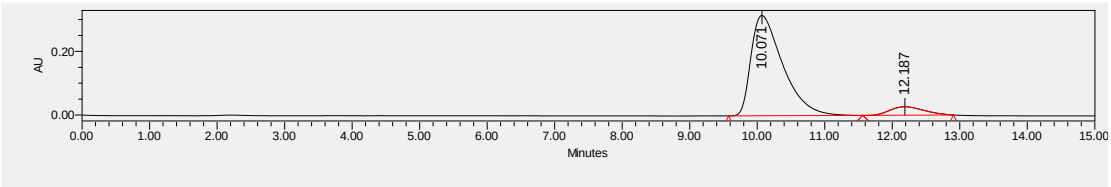
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.9, 145.8, 141.7, 131.3, 130.9, 130.4, 129.2, 126.8, 123.3, 121.4, 110.6, 73.8, 47.1, 28.7, 21.8.

IR: 3275, 2100, 1730, 1692, 1470, 1316, 1143, 1083, 757, 663, 574, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: [M + Na]+ calcd for $C_{17}H_{16}N_4O_3SNa^+$ 379.0836; found 379.0838.

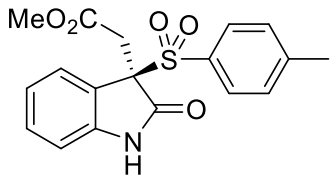


	Retention Time	Area	% Area
1	10.211	2712972	50.29
2	12.057	2681905	49.71



	Retention Time	Area	% Area
1	10.071	10310816	91.76
2	12.187	925597	8.24

methyl (R)-2-(2-oxo-3-tosylindolin-3-yl)acetate (C23)



C23

White solid; 31.6 mg, 88% yield, 94:6 er; melting point: 193-195 °C; $[\alpha]_D^{24.2}$ = -33.4 (*c* = 0.44 in CH_2Cl_2).

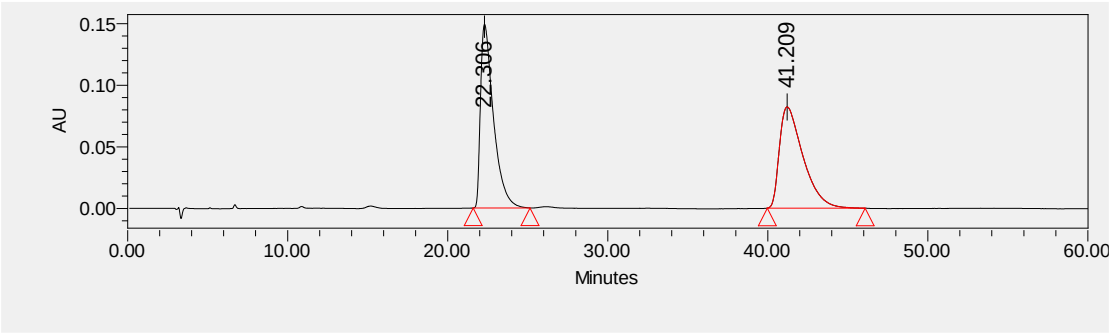
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral OXH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 39.82 min, t_R(minor) = 22.55 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.17 (s, 1H), 7.53 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.43 (d, *J* = 2.0 Hz, 2H), 7.31 – 7.24 (m, 2H), 7.21 – 7.14 (m, 2H), 7.10 (m, 1H), 6.69 (m, 1H), 3.67 (d, *J* = 16.8 Hz, 1H), 3.56 (d, *J* = 16.8 Hz, 1H), 3.48 (s, 3H), 2.38 (s, 3H).

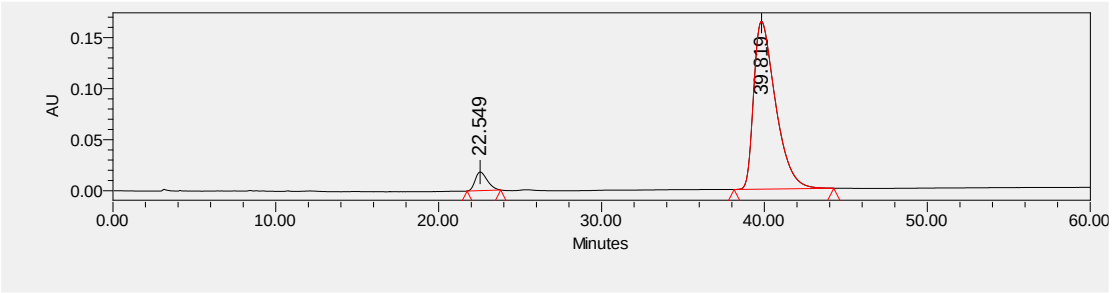
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.7, 168.7, 145.9, 142.2, 130.9, 130.7, 130.5, 129.2, 125.9, 122.9, 122.4, 110.1, 72.1, 52.3, 34.2, 21.8.

IR: 3312, 1739, 1705, 1345, 1144, 1121, 737, 702, 662, 572, 523 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{18}H_{17}NO_5SNa^+$ 382.0720; found 382.0724.

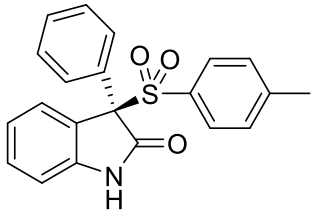


	Retention Time	Area	% Area
1	22.306	8401228	49.94
2	41.209	8421600	50.06



	Retention Time	Area	% Area
1	22.549	940785	5.80
2	39.819	15266868	94.20

(R)-3-phenyl-3-tosylindolin-2-one (C24)



C24

White solid; 32.7 mg, 90% yield, 94:6 er; melting point: 195-197 °C; $[\alpha]_D^{24.6}$ = -119.0 (*c* = 0.40 in CH_2Cl_2).

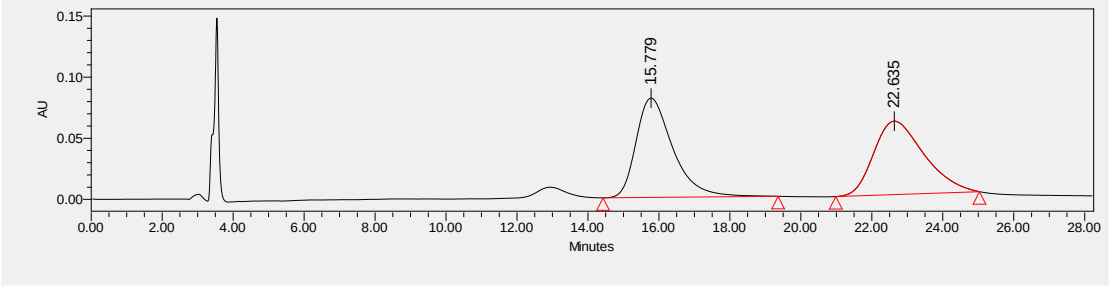
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 10/90, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 16.39 min, t_R(minor) = 23.53 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.32 (s, 1H), 8.03 – 7.93 (m, 3H), 7.42 – 7.35 (m, 5H), 7.33 (td, *J* = 7.6, 1.2 Hz, 1H), 7.21 (td, *J* = 7.6, 1.2 Hz, 1H), 7.10 (d, *J* = 8.0 Hz, 2H), 6.78 (m, 1H), 2.36 (s, 3H).

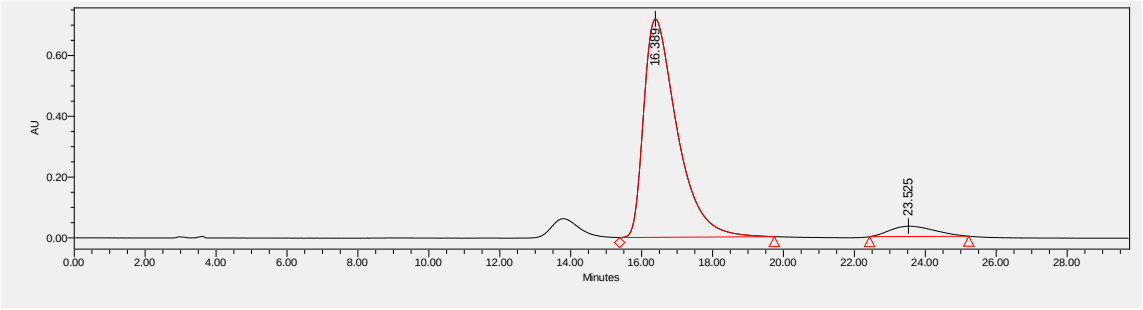
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 170.9, 145.3, 141.4, 132.2, 130.8, 130.6, 129.4, 129.4, 129.2, 128.9, 128.9, 128.5, 123.0, 122.9, 110.4, 77.3, 21.7.

IR: 3290, 1718, 1617, 1595, 1472, 1317, 1142, 1081, 754, 697, 660, 574, 525 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{17}NO_3SNa^+$ 386.0821; found 386.0821.

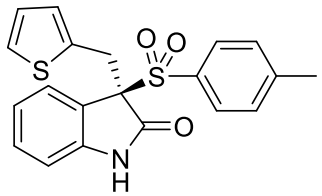


	Retention Time	Area	% Area
1	15.779	5865704	49.78
2	22.635	5917686	50.22



	Retention Time	Area	% Area
1	16.389	46767723	94.04
2	23.525	2961571	5.96

(R)-3-(thiophen-2-ylmethyl)-3-tosylindolin-2-one (C25)



C25

White solid; 33.7 mg, 88% yield, 93:7 er; melting point: 234-236 °C; $[\alpha]_D^{23.8}$ = -40.2 (*c* = 0.54 in CH_2Cl_2).

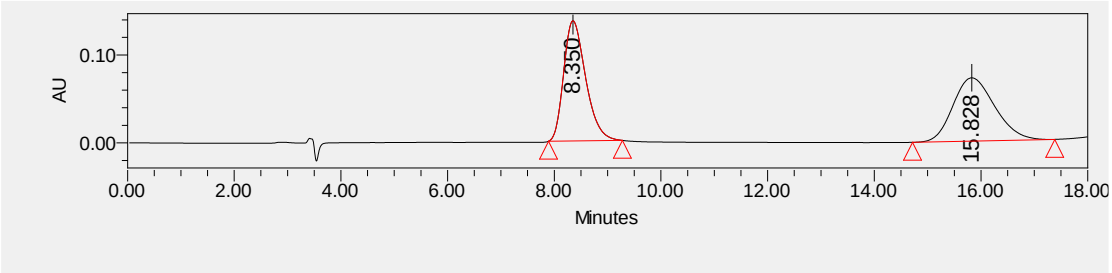
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.59 min, t_R(minor) = 8.56 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.07 (s, 1H), 7.74 (d, *J* = 7.6 Hz, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 7.6 Hz, 1H), 7.17 (t, *J* = 6.8 Hz, 3H), 6.93 – 6.77 (m, 1H), 6.63 (d, *J* = 3.6 Hz, 2H), 6.58 (d, *J* = 7.6 Hz, 1H), 4.12 (d, *J* = 14.4 Hz, 1H), 3.93 (d, *J* = 14.4 Hz, 1H), 2.39 (s, 3H).

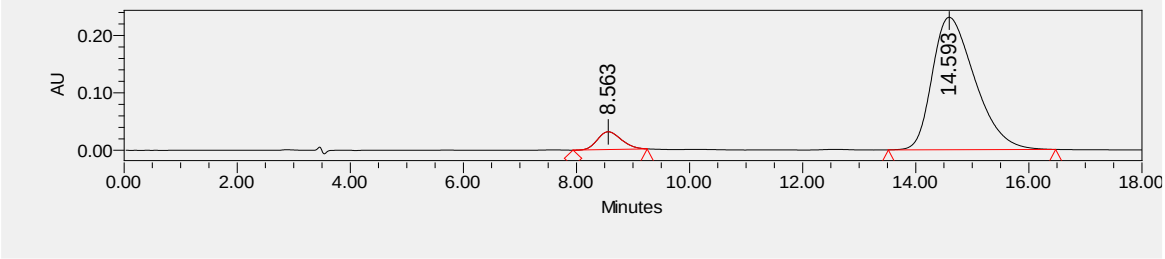
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.5, 145.7, 141.8, 134.3, 131.8, 130.7, 130.3, 129.2, 127.9, 127.1, 126.5, 125.3, 123.1, 122.3, 110.1, 75.8, 29.8, 21.8.

IR: 3277, 1736, 1696, 1471, 1318, 1112, 1039, 736, 662, 626, 571, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{20}H_{17}NO_3S_2Na^+$ 406.0543; found 406.0545.

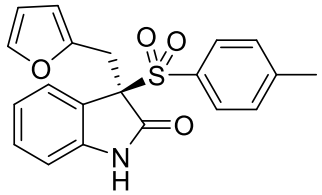


	Retention Time	Area	% Area
1	8.350	3920758	50.06
2	15.828	3911323	49.94



	Retention Time	Area	% Area
1	8.563	905554	6.98
2	14.593	12071377	93.02

(R)-3-(furan-2-ylmethyl)-3-tosylindolin-2-one (C26)



C26

White solid; 28.6 mg, 78% yield, 91.5:8.5 er; melting point: 221-223 °C; $[\alpha]_D^{24.1}$ = -41.9 (*c* = 0.42 in CH_2Cl_2).

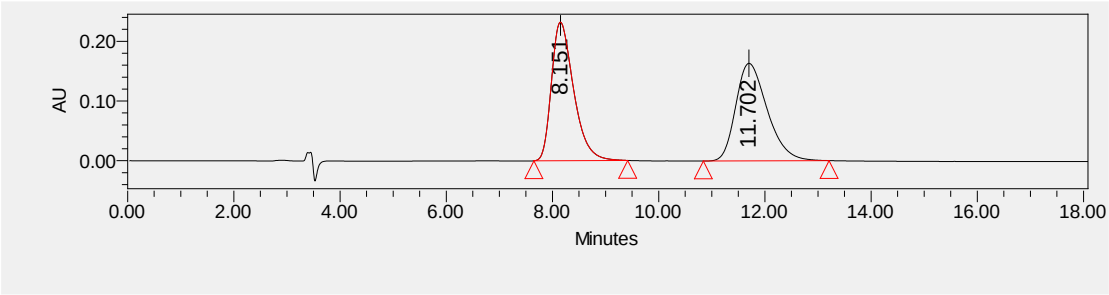
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 11.36 min, t_R(minor) = 8.38 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.28 (s, 1H), 7.64 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.49 – 7.43 (m, 2H), 7.22 (td, *J* = 7.6, 1.2 Hz, 1H), 7.16 (d, *J* = 8.0 Hz, 2H), 7.10 (td, *J* = 7.6, 1.2 Hz, 1H), 6.86 (m, 1H), 6.61 (d, *J* = 7.6 Hz, 1H), 5.97 (m, 1H), 5.83 (m, 1H), 3.92 – 3.80 (m, 2H), 2.38 (s, 3H).

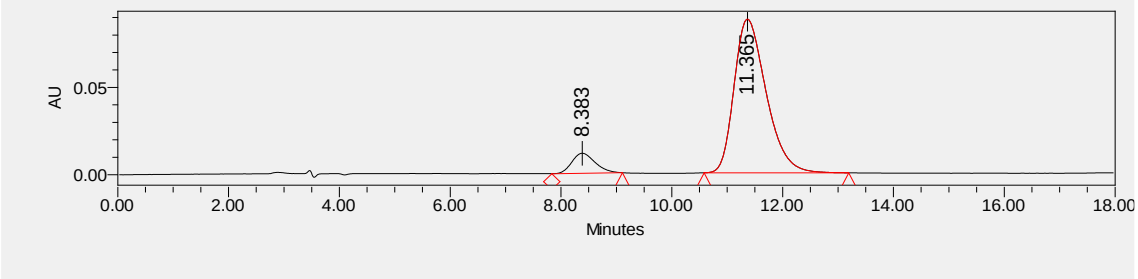
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.9, 147.7, 145.7, 142.1, 141.5, 131.6, 130.5, 130.4, 129.2, 129.2, 127.1, 122.9, 122.2, 110.2, 110.0, 108.5, 74.4, 28.4, 21.8.

IR: 3295, 1737, 1700, 1616, 1470, 1316, 1144, 1083, 1044, 759, 703, 599, 572 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{20}H_{17}NO_4SNa^+$ 390.0771; found 390.0773.

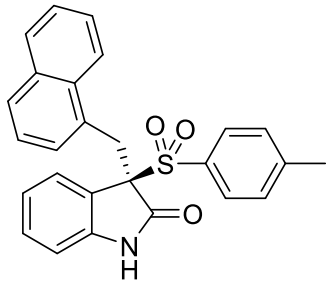


	Retention Time	Area	% Area
1	8.151	6723742	49.98
2	11.702	6730441	50.02



	Retention Time	Area	% Area
1	8.383	336176	8.69
2	11.365	3533328	91.31

(R)-3-(naphthalen-1-ylmethyl)-3-tosylindolin-2-one (C27)



C27

White solid; 40.2 mg, 94% yield, 95:5 er; melting point: 238-240 °C; $[\alpha]_D^{24.7}$ = -2.5 (*c* = 0.16 in CH_2Cl_2).

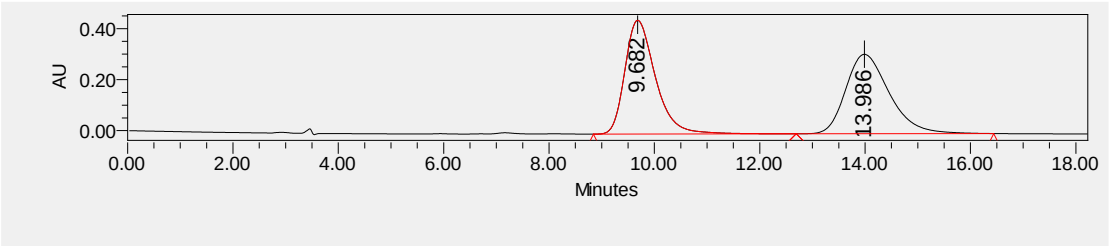
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 13.28 min, t_R(minor) = 9.78 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.22 (d, *J* = 8.4 Hz, 1H), 7.78 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.68 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.56 (m, 1H), 7.53 – 7.47 (m, 2H), 7.47 – 7.43 (m, 1H), 7.38 (m, 1H), 7.29 (s, 1H), 7.15 (d, *J* = 8.0 Hz, 2H), 7.12 – 7.02 (m, 3H), 6.97 (m, 1H), 6.36 (d, *J* = 7.6 Hz, 1H), 4.41 (d, *J* = 14.0 Hz, 1H), 4.31 (d, *J* = 14.0 Hz, 1H), 2.37 (s, 3H).

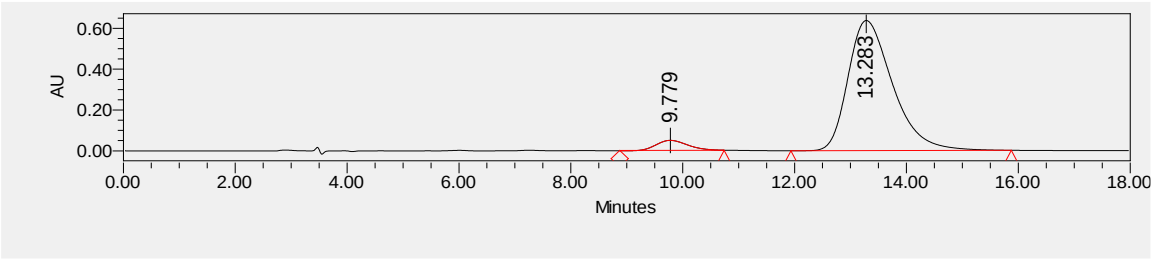
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.4, 145.4, 141.2, 133.7, 132.1, 132.0, 130.5, 130.3, 129.8, 129.1, 128.4, 128.2, 128.0, 128.0, 125.8, 125.6, 124.8, 124.3, 122.6, 122.2, 109.5, 76.2, 30.4, 21.8.

IR: 3276, 1732, 1694, 1317, 1100, 1084, 731, 702, 664, 568, 528, cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{21}NO_3SNa^+$ 450.1135; found 450.1139.

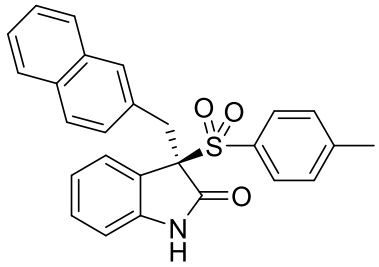


	Retention Time	Area	% Area
1	9.682	17966644	50.19
2	13.986	17830263	49.81



	Retention Time	Area	% Area
1	9.779	1892335	5.11
2	13.283	35171106	94.89

(R)-3-(naphthalen-2-ylmethyl)-3-tosylindolin-2-one (C28)



C28

White solid; 40.2 mg, 95% yield, 94.5:5.5 er; melting point: 263-265 °C; $[\alpha]_D^{23.9}$ = -58.6 (*c* = 0.56 in CH_2Cl_2).

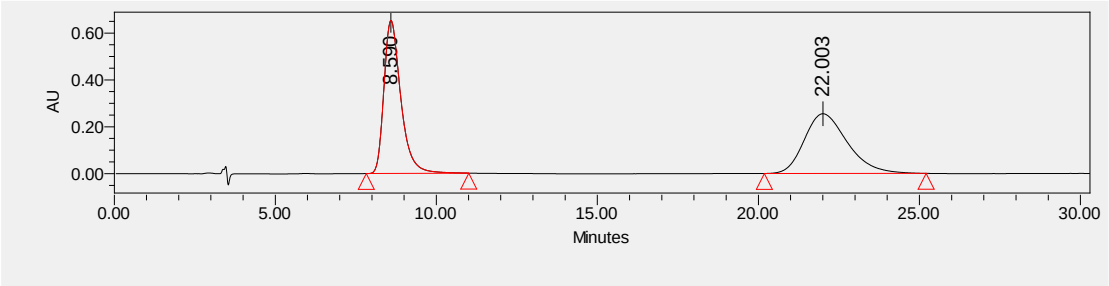
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 21.59 min, t_R(minor) = 8.62 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.85 (m, 1H), 7.66 (s, 1H), 7.63 – 7.54 (m, 2H), 7.49 (d, *J* = 8.10 Hz, 2H), 7.47 – 7.44 (m, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.36 – 7.29 (m, 2H), 7.18 – 7.09 (m, 4H), 7.00 (dd, *J* = 8.4, 1.6 Hz, 1H), 6.40 (dd, *J* = 5.6, 3.2 Hz, 1H), 4.00 (d, *J* = 13.2 Hz, 1H), 3.88 (d, *J* = 13.2 Hz, 1H), 2.36 (s, 3H).

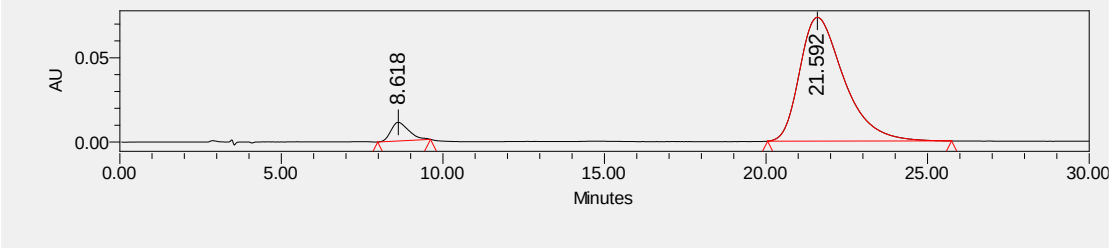
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 145.5, 141.4, 133.1, 132.3, 132.0, 130.7, 130.5, 130.4, 129.4, 129.2, 128.0, 127.7, 127.7, 127.4, 127.4, 125.9, 125.8, 122.9, 122.2, 110.0, 76.4, 35.4, 21.8.

IR: 3268, 1731, 1693, 1470, 1316, 1100, 1083, 811, 751, 702, 663, 574 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{21}NO_3SNa^+$ 450.1135; found 450.1138.

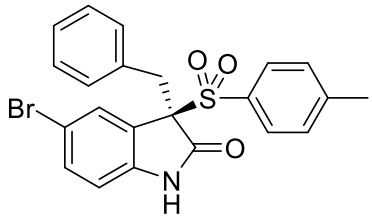


	Retention Time	Area	% Area
1	8.590	23782693	50.11
2	22.003	23677666	49.89



	Retention Time	Area	% Area
1	8.618	424281	5.73
2	21.592	6979580	94.27

(R)-3-benzyl-5-bromo-3-tosylindolin-2-one (C29)



C29

White solid; 44.6 mg, 98% yield, 86.5:13.5 er; melting point: 263-265 °C; $[\alpha]_D^{24.2}$ = -20.9 (*c* = 0.68 in CH_2Cl_2).

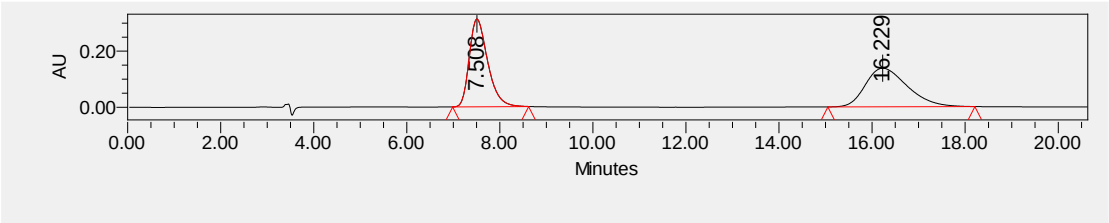
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.72 min, t_R(minor) = 7.73 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.67 (s, 1H), 7.84 (d, *J* = 2.0 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 2H), 7.41 – 7.28 (m, 3H), 7.08 (m, 3H), 6.95 (m, 2H), 6.46 (d, *J* = 8.4 Hz, 1H), 3.72 (d, *J* = 12.8 Hz, 1H), 3.53 (d, *J* = 12.8 Hz, 1H), 2.38 (s, 3H).

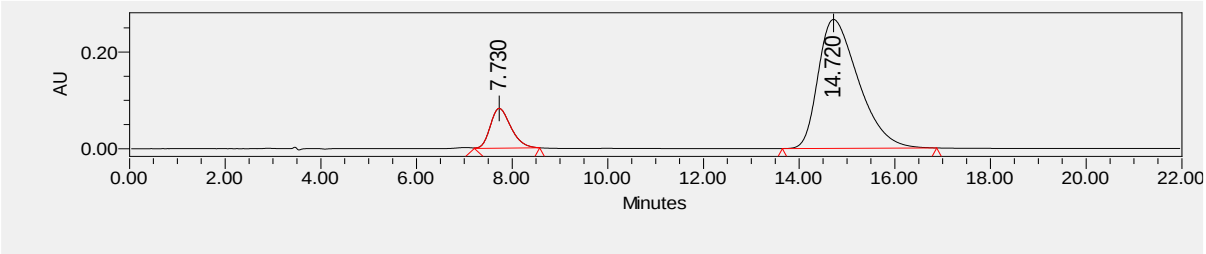
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.6, 146.1, 142.7, 133.6, 132.1, 130.5, 130.4, 130.3, 129.9, 128.5, 127.6, 124.4, 113.8, 111.9, 76.4, 34.9, 21.6.

IR: 3258, 1740, 1697, 1470, 1319, 1172, 1083, 756, 811, 700, 666, 582 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}^{78.9183}BrNO_3SNa^+$ 478.0083; found 478.0089; $C_{22}H_{18}^{80.9163}BrNO_3SNa^+$ 480.0063; found 480.0067.

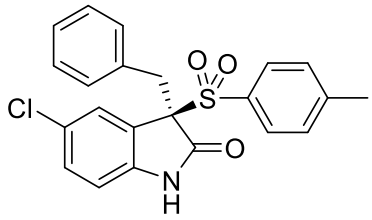


	Retention Time	Area	% Area
1	7.508	8572963	49.90
2	16.229	8608875	50.10



	Retention Time	Area	% Area
1	7.730	2449038	13.58
2	14.720	15579748	86.42

(R)-3-benzyl-5-chloro-3-tosylindolin-2-one (C30)



C30

White solid; 40.4 mg, 98% yield, 88.5:11.5 er; melting point: 258-260 °C; $[\alpha]_D^{24.7}$ = -28.8 (*c* = 0.58 in CH_2Cl_2).

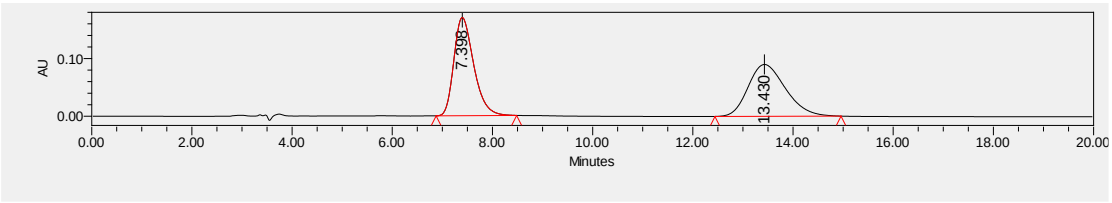
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 12.98 min, t_R(minor) = 7.46 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.90 (s, 1H), 7.76 (d, *J* = 2.4 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.25 – 7.11 (m, 3H), 7.02 (m, 3H), 6.92 (m, 2H), 6.46 (d, *J* = 8.0 Hz, 1H), 3.82 (d, *J* = 13.2 Hz, 1H), 3.67 (d, *J* = 13.2 Hz, 1H), 2.40 (s, 3H).

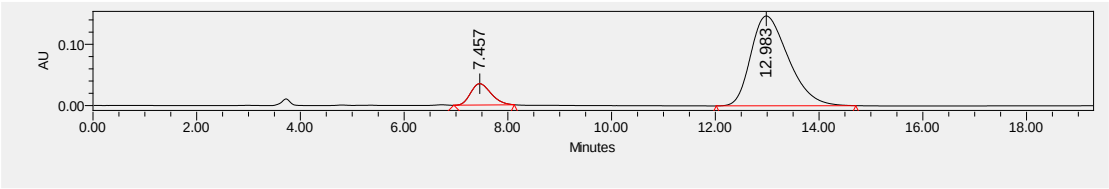
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.2, 145.9, 139.9, 132.6, 131.7, 130.5, 130.1, 129.4, 128.3, 127.4, 127.4, 124.1, 110.9, 76.5, 35.5, 21.8.

IR: 3245, 1738, 1695, 1473, 1318, 1176, 1083, 741, 665, 584, 531 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}{}^{34.9689}ClNO_3SNa^+$ 434.0589; found 434.0594; $C_{22}H_{18}{}^{36.9659}ClNO_3SNa^+$ 436.0559; found 436.0562.

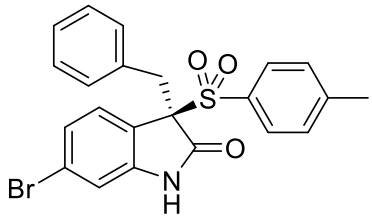


	Retention Time	Area	% Area
1	7.398	4683733	50.17
2	13.430	4652699	49.83



	Retention Time	Area	% Area
1	7.457	953080	11.41
2	12.983	7401766	88.59

(R)-3-benzyl-6-bromo-3-tosylindolin-2-one (C31)



C31

Yellow solid; 41.4 mg, 91% yield, 73:27 er; melting point: 118-120 °C; $[\alpha]_D^{24.3}$ = -9.3 (*c* = 0.46 in CH_2Cl_2).

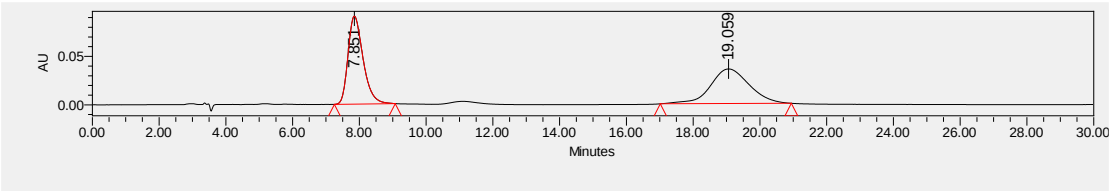
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 17.96 min, t_R(minor) = 7.80 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.91 (m, 1H), 7.62 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.55 – 7.48 (m, 2H), 7.32 – 7.27 (m, 1H), 7.19 (t, *J* = 7.6 Hz, 2H), 7.11 – 6.97 (m, 3H), 6.92 (m, 2H), 6.70 (m, 1H), 3.79 (d, *J* = 13.2 Hz, 1H), 3.68 (d, *J* = 13.2 Hz, 1H), 2.40 (s, 3H).

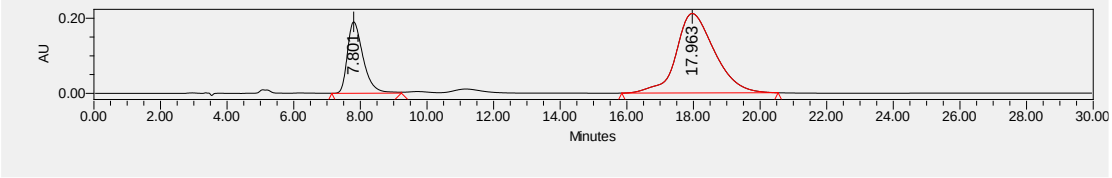
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.3, 145.9, 142.6, 132.7, 131.7, 130.5, 130.1, 130.1, 129.4, 128.5, 128.3, 128.3, 127.4, 125.9, 124.2, 121.2, 113.5, 76.3, 35.4, 21.8.

IR: 3276, 1720, 1608, 1479, 1450, 1318, 1142, 1082, 812, 765, 743, 663, 576, 513 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}{}^{78.9183}BrNO_3SNa^+$ 478.0083; found 478.0090; $C_{22}H_{18}{}^{80.9163}BrNO_3SNa^+$ 480.0063; found 480.0069.

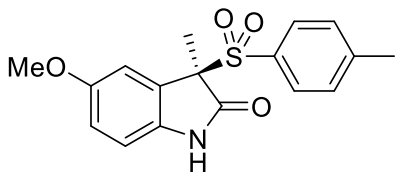


	Retention Time	Area	% Area
1	7.851	2840715	49.66
2	19.059	2879916	50.34



	Retention Time	Area	% Area
1	7.801	6226746	26.90
2	17.963	16919111	73.10

(R)-5-methoxy-3-methyl-3-tosylindolin-2-one (C32)



C32

White solid; 32.5 mg, 98% yield, 98.5:1.5 er; melting point: 175-177 °C; $[\alpha]_D^{24.7}$ = 47.3 (*c* = 0.40 in CH_2Cl_2).

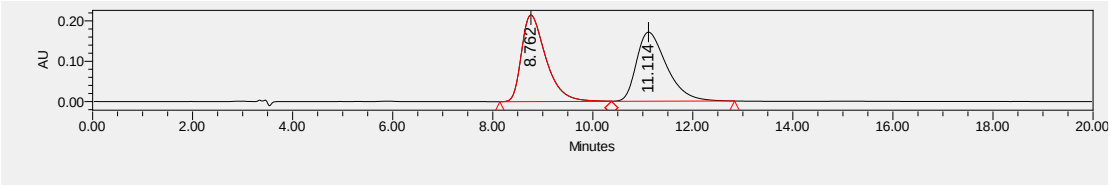
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 8.64 min, t_R(minor) = 11.24 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.59 (s, 1H), 7.53 – 7.44 (m, 2H), 7.23 – 7.04 (m, 3H), 6.83 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.68 (d, *J* = 8.4 Hz, 1H), 3.84 (s, 3H), 2.39 (s, 3H), 1.88 (s, 3H).

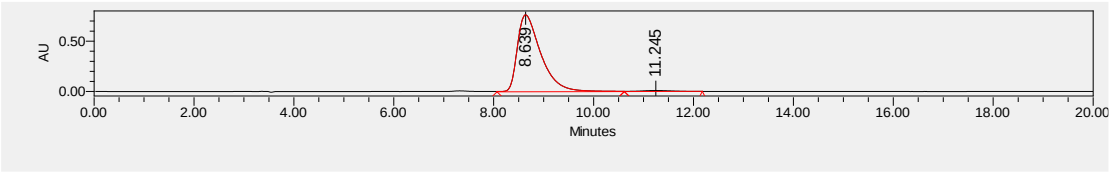
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 173.2, 156.1, 145.5, 134.4, 131.6, 130.3, 129.1, 125.8, 116.0, 112.5, 110.9, 71.46, 56.0, 21.8, 16.4.

IR: 3291, 1713, 1598, 1490, 1440, 1296, 1203, 1145, 1068, 811, 732, 663, 580, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{17}NO_4SNa^+$ 354.0770; found 354.0771.

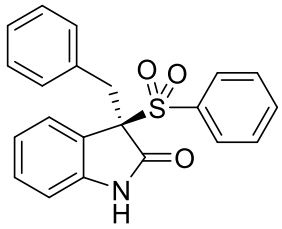


	Retention Time	Area	% Area
1	8.762	7264694	50.28
2	11.114	7183621	49.72



	Retention Time	Area	% Area
1	8.639	24962972	98.33
2	11.245	423869	1.67

(R)-3-benzyl-3-(phenylsulfonyl)indolin-2-one (C33)



C33

White solid; 29.4 mg, 81% yield, 97:3 er; melting point: 253-255 °C; $[\alpha]_D^{24.1}$ = -64.3 (*c* = 0.42 in CH_2Cl_2).

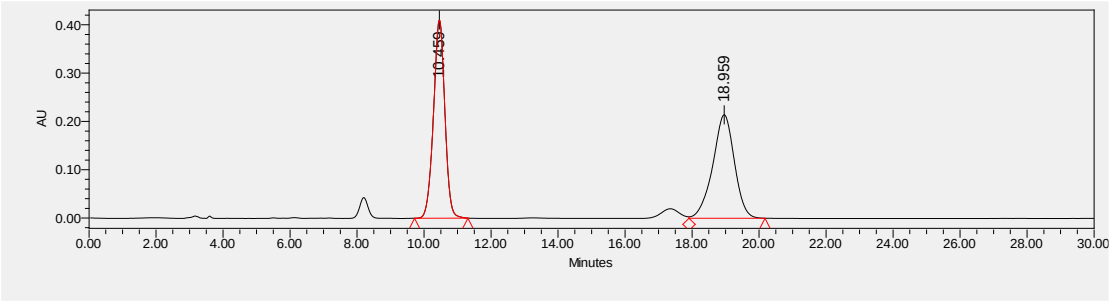
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.11 min, t_R(minor) = 18.70 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.58 (m, 4H), 7.41 – 7.31 (m, 2H), 7.22 – 7.11 (m, 2H), 7.06 – 6.89 (m, 5H), 6.52 – 6.43 (m, 1H), 3.89 (d, *J* = 13.2 Hz, 1H), 3.74 (d, *J* = 13.2 Hz, 1H).

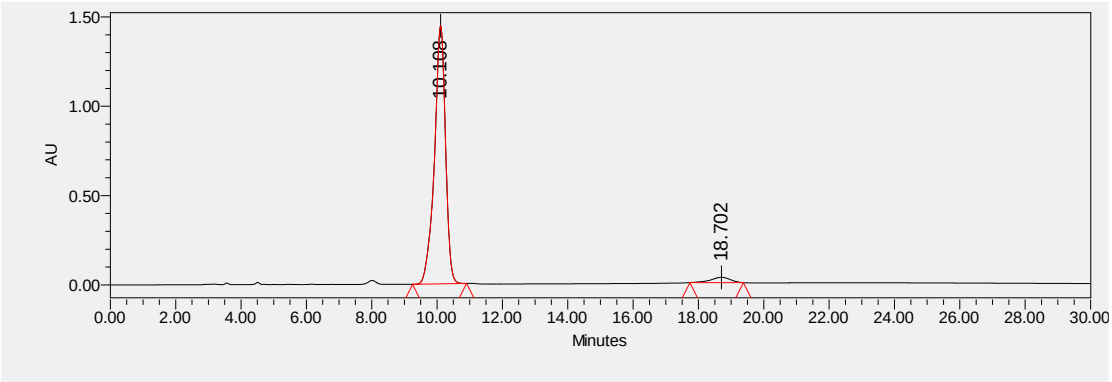
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.2, 141.2, 134.9, 134.3, 132.9, 130.5, 130.4, 130.2, 128.5, 128.1, 127.4, 127.2, 122.9, 122.1, 109.8, 76.4, 35.0.

IR: 3274, 1736, 1696, 1315, 1112, 1082, 756, 685, 660, 561, 539 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{17}NO_3SNa$+ 386.0821; found 386.0821.

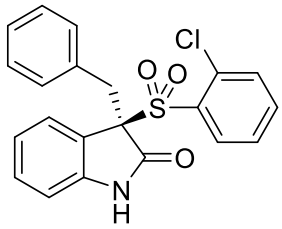


	Retention Time	Area	% Area
1	10.459	9656378	50.06
2	18.959	9633867	49.94



	Retention Time	Area	% Area
1	10.108	33999642	97.02
2	18.701	1046114	2.98

(R)-3-benzyl-3-((2-chlorophenyl)sulfonyl)indolin-2-one (C34)



C34

White solid; 36.2 mg, 91% yield, 93.5:6.5 er; melting point: 236-238 °C; $[\alpha]_D^{24.0}$ = -127.2 (*c* = 0.46 in CH_2Cl_2).

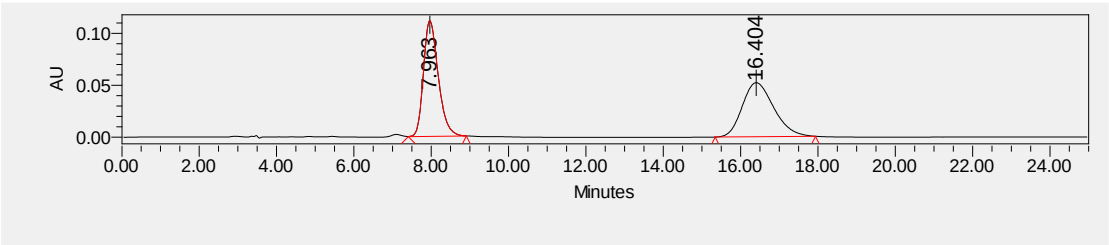
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 15.37 min, t_R(minor) = 7.88 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.20 (s, 1H), 7.87 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.75 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.50 (td, *J* = 7.6, 1.6 Hz, 1H), 7.44 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.30 (m, 1H), 7.21 (td, *J* = 7.6, 1.2 Hz, 1H), 7.13 (td, *J* = 7.6, 1.2 Hz, 1H), 7.07 – 6.94 (m, 3H), 6.94 – 6.87 (m, 2H), 6.58 (d, *J* = 7.6 Hz, 1H), 3.90 – 3.75 (m, 2H).

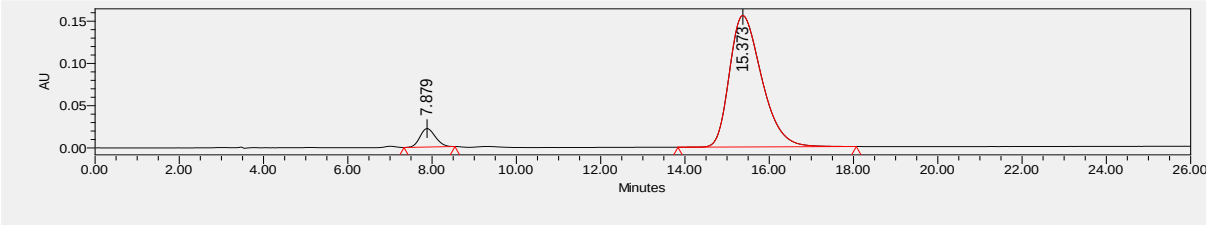
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.1, 141.7, 135.5, 135.3, 134.9, 132.8, 132.7, 132.3, 130.8, 130.3, 128.1, 128.1, 127.7, 127.2, 126.7, 122.9, 121.4, 110.1, 77.8, 35.7.

IR: 3255, 1717, 1685, 1327, 1148, 725, 699, 662, 627, 599, 566, 541, 511 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}{}^{34.9689}ClNO_3SNa^+$ 420.0432; found 420.0435; $C_{21}H_{16}{}^{36.9659}ClNO_3SNa^+$ 422.0403; found 422.0403.

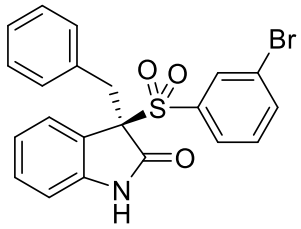


	Retention Time	Area	% Area
1	7.963	2967552	50.19
2	16.404	2945254	49.81



	Retention Time	Area	% Area
1	7.879	553589	6.28
2	15.373	8265881	93.72

(R)-3-benzyl-3-((3-bromophenyl)sulfonyl)indolin-2-one (C35)



C35

White solid; 28.7 mg, 65% yield, 95:5 er; melting point: 238-240 °C; $[\alpha]_D^{24.6}$ = -71.3 (*c* = 0.38 in CH_2Cl_2).

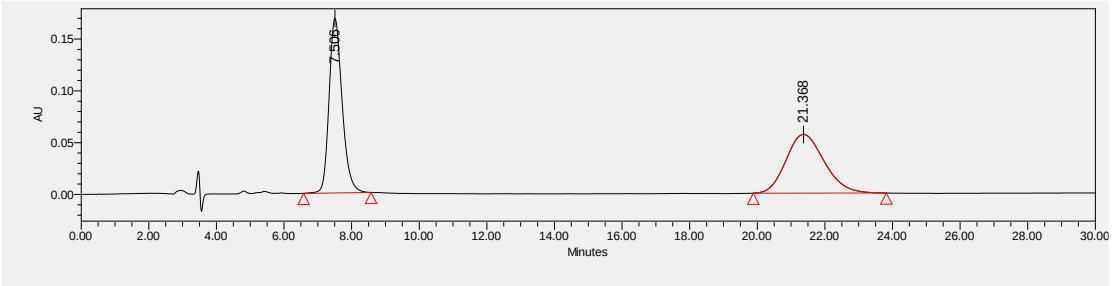
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 21.45 min, t_R(minor) = 7.55 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.80 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.73 (s, 1H), 7.71 – 7.64 (m, 2H), 7.59 (m, 1H), 7.30 – 7.14 (m, 4H), 7.07 – 6.89 (m, 5H), 6.53 (dd, *J* = 7.6, 1.2 Hz, 1H), 3.85 (d, *J* = 13.2 Hz, 1H), 3.73 (d, *J* = 13.2 Hz, 1H).

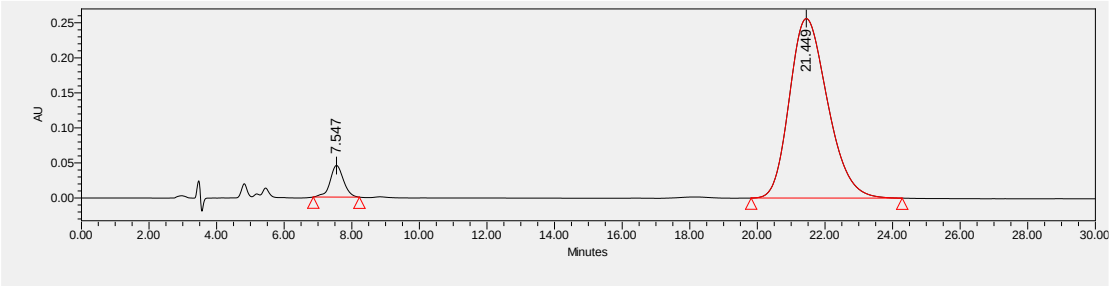
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.0, 141.3, 137.4, 136.7, 133.2, 132.6, 130.9, 130.2, 130.0, 129.0, 128.2, 127.4, 127.3, 123.1, 122.4, 121.6, 110.0, 76.6, 35.0.

IR: 3271, 1734, 1695, 1322, 1110, 761, 678, 635, 604, 566 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}^{78.9183}BrNO_3SNa^+$ 463.9927; found 463.9933; $C_{21}H_{16}^{80.9163}BrNO_3SNa^+$ 465.9906; found 465.9911.

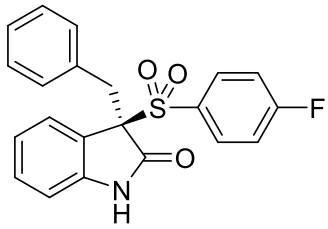


	Retention Time	Area	% Area
1	7.506	4521439	50.39
2	21.368	4451067	49.61



	Retention Time	Area	% Area
1	7.547	1239724	5.81
2	21.449	20099682	94.19

(R)-3-benzyl-3-((4-fluorophenyl)sulfonyl)indolin-2-one (C36)



C36

White solid; 29.7 mg, 78% yield, 95.5:4.5 er; melting point: 250-252 °C; $[\alpha]_D^{24.5}$ = -67.8 (*c* = 0.40 in CH_2Cl_2).

Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 24.91 min, t_R(minor) = 4.89 min.

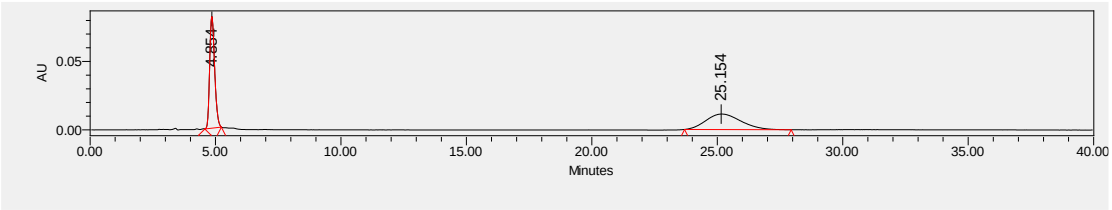
^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.79 (m, 1H), 7.70 (s, 1H), 7.66 – 7.55 (m, 2H), 7.18 (m, 2H), 7.10 – 6.96 (m, 5H), 6.96 – 6.86 (m, 2H), 6.61 – 6.46 (m, 1H), 3.85 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.2, 167.5, 164.9, 141.2, 133.4, 133.3, 132.8, 131.0, 130.9, 130.7, 130.2, 128.2, 127.4, 127.3, 123.0, 121.9, 116.0, 115.8, 110.0, 76.4, 35.1.

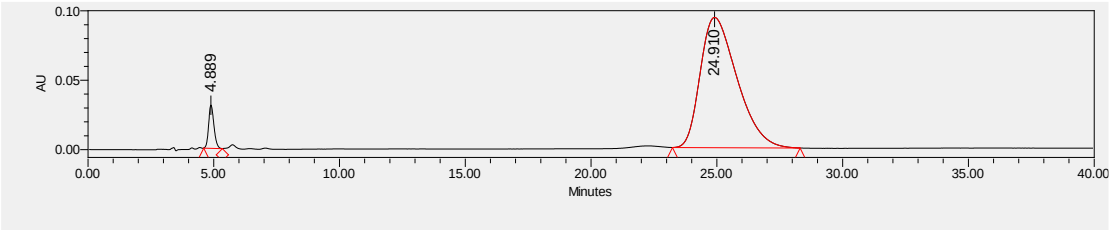
^{19}F NMR (376 MHz, $CDCl_3$) *δ* 101.89.

IR: 3272, 1735, 1696, 1492, 1323, 1112,1083, 838, 764, 697, 671, 528 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}FNO_3SNa^+$ 404.0728; found 404.0730.

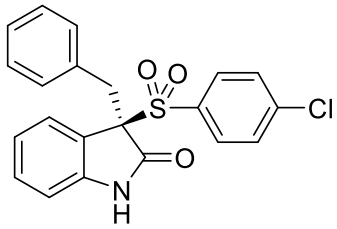


	Retention Time	Area	% Area
1	4.854	1126222	50.63
2	25.154	1098398	49.37



	Retention Time	Area	% Area
1	4.889	455196	4.56
2	24.910	9533458	95.44

(R)-3-benzyl-3-((4-chlorophenyl)sulfonyl)indolin-2-one (C37)



C37

White solid; 38.1 mg, 96% yield, 95.5:4.5 er; melting point: 237-239 °C; $[\alpha]_D^{25.0}$ = -32.1 (*c* = 0.56 in CH_2Cl_2).

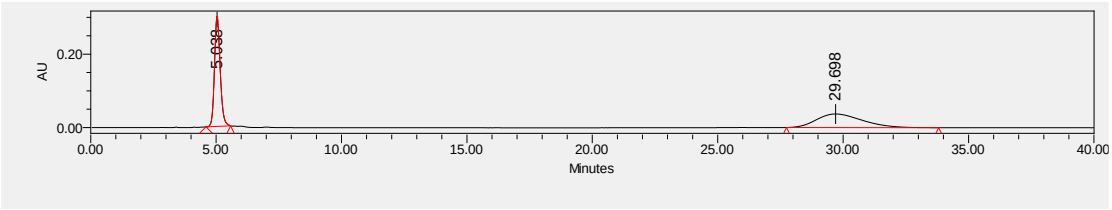
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 29.39 min, t_R(minor) = 5.04 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.92 (s, 1H), 7.78 (m, 1H), 7.57 – 7.50 (m, 2H), 7.39 – 7.29 (m, 2H), 7.18 (m, 2H), 7.08 – 6.94 (m, 3H), 6.94 – 6.88 (m, 2H), 6.58 – 6.49 (m, 1H), 3.83 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H).

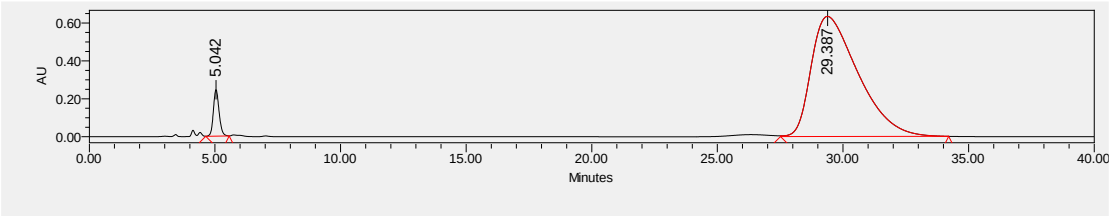
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.2, 141.3, 141.3, 133.4, 132.7, 131.8, 130.7, 130.2, 130.1, 128.9, 128.2, 128.2, 127.4, 127.3, 123.1, 121.8, 110.1, 76.47, 35.1.

IR: 3279, 1732, 1694, 1471, 1319, 1147, 1085, 754, 697, 640, 581, 490 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}{}^{34.9689}ClNO_3SNa^+$ 420.0432; found 420.0434; $C_{21}H_{16}{}^{36.9659}ClNO_3SNa^+$ 422.0403; found 422.0403.

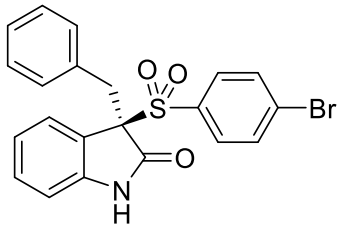


	Retention Time	Area	% Area
1	5.038	4732482	50.14
2	29.698	4706990	49.86



	Retention Time	Area	% Area
1	5.042	3881884	4.51
2	29.387	82152259	95.49

(R)-3-benzyl-3-((4-bromophenyl)sulfonyl)indolin-2-one (C38)



C38

White solid; 40.6 mg, 92% yield, 96.5:3.5 er; melting point: 240-242 °C; $[\alpha]_D^{24.2}$ = -17.2 (*c* = 0.60 in CH_2Cl_2).

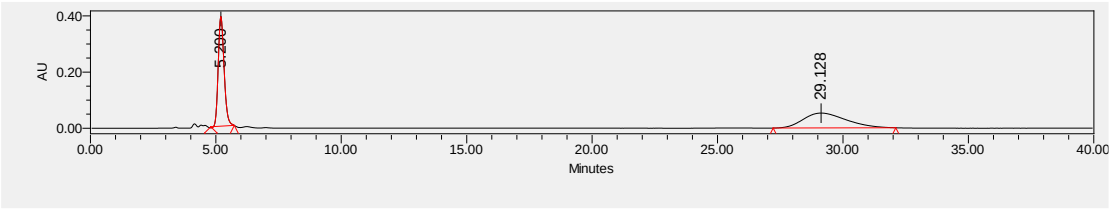
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 28.56 min, t_R(minor) = 5.25 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.84 – 7.67 (m, 2H), 7.57 – 7.48 (m, 2H), 7.48 – 7.40 (m, 2H), 7.19 (m, 2H), 7.08 – 6.87 (m, 5H), 6.53 (dd, *J* = 7.6, 1.2 Hz, 1H), 3.83 (d, *J* = 13.2 Hz, 1H), 3.72 (d, *J* = 13.2 Hz, 1H).

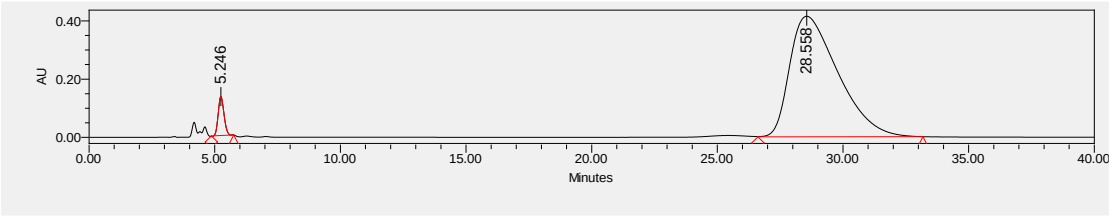
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.1, 141.3, 134.0, 132.7, 131.9, 131.8, 130.8, 130.2, 130.0, 128.2, 127.4, 127.3, 123.1, 121.7, 110.1, 76.4, 35.1.

IR: 3270, 1733, 1695, 1617, 1572, 1470, 1389, 1145, 763, 740, 696, 609, 546 m^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}{}^{78.9183}BrNO_3SNa^+$ 463.9927; found 463.9933; $C_{21}H_{16}{}^{80.9163}BrNO_3SNa^+$ 465.9906; found 465.9911.

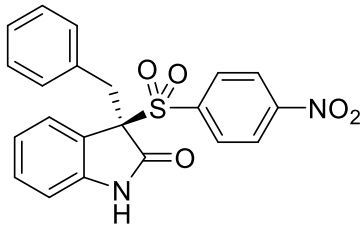


	Retention Time	Area	% Area
1	5.200	6345420	50.14
2	29.128	6310132	49.86



	Retention Time	Area	% Area
1	5.246	2148048	3.74
2	28.558	55311115	96.26

(R)-3-benzyl-3-((4-nitrophenyl)sulfonyl)indolin-2-one (C39)



C39

Yellow solid; 34.7 mg, 85% yield, 94:6 er; melting point: 241-242 °C; $[\alpha]_D^{24.5}$ = -49.8 (*c* = 0.48 in CH_2Cl_2).

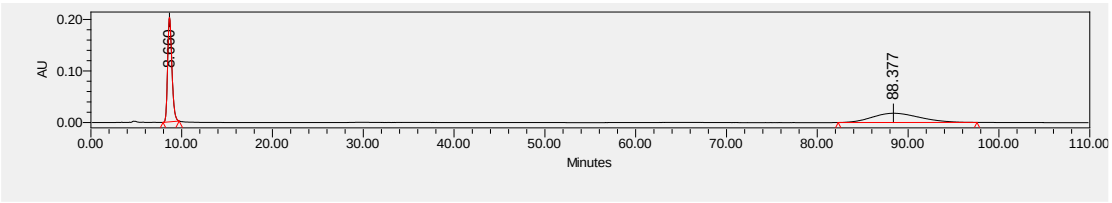
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 86.45 min, t_R(minor) = 8.58 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.68 (s, 1H), 8.46 – 8.34 (m, 2H), 7.92 – 7.82 (m, 2H), 7.72 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.23 (td, *J* = 7.6, 1.2 Hz, 1H), 7.16 – 6.99 (m, 4H), 6.99 – 6.81 (m, 2H), 6.53 (d, *J* = 7.6 Hz, 1H), 3.74 (d, *J* = 12.8 Hz, 1H), 3.54 (d, *J* = 12.8 Hz, 1H).

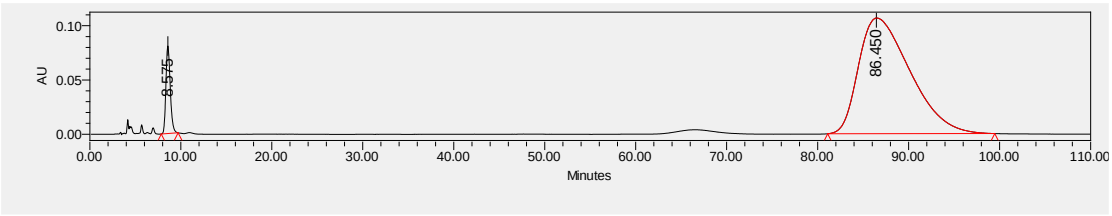
^{13}C NMR (101 MHz, DMSO-d6) *δ* 170.5, 151.4, 143.4, 140.5, 133.4, 132.4, 131.4, 130.5, 128.5, 127.8, 127.6, 124.4, 122.6, 121.0, 110.4, 76.6, 34.9.

IR: 3257, 1732, 1693, 1532, 1349, 1308, 1109, 1080, 734, 561 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}N_2O_5SNa$+ 431.0673; found 431.0677.

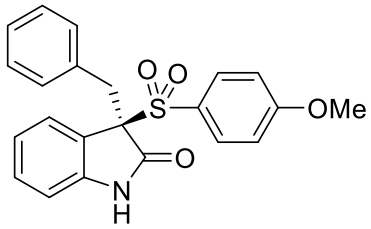


	Retention Time	Area	% Area
1	8.660	6620587	50.45
2	88.377	6501771	49.55



	Retention Time	Area	% Area
1	8.575	2680165	6.02
2	86.450	41833373	93.98

(R)-3-benzyl-3-((4-methoxyphenyl)sulfonyl)indolin-2-one (C40)



C40

White solid; 37.4 mg, 95% yield, 95:5 er; melting point: 216-217 °C; $[\alpha]_D^{24.5}$= -24.2 (*c* = 0.52 in CH_2Cl_2).

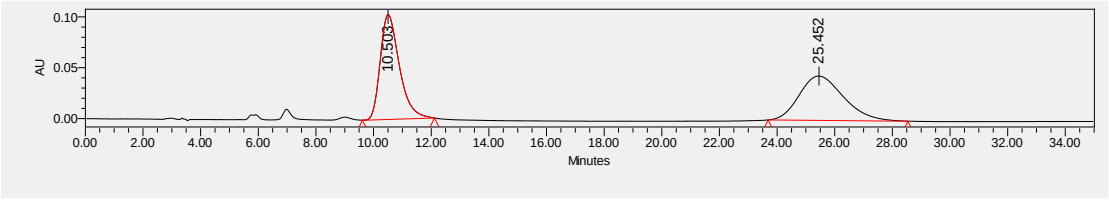
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 24.64 min, t_R(minor) = 10.53 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.00 (s, 1H), 7.77 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.55 – 7.46 (m, 2H), 7.16 (m, 2H), 7.07 – 6.87 (m, 6H), 6.80 (m, 2H), 6.58 – 6.48 (m, 1H), 3.86 (d, *J* = 13.2 Hz, 1H), 3.81 (s, 3H), 3.71 (d, *J* = 13.2 Hz, 1H).

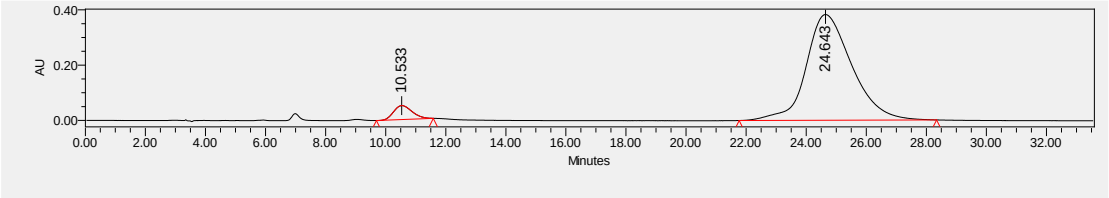
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.7, 164.2, 141.4, 133.1, 132.5, 130.4, 130.1, 128.1, 127.3, 127.1, 126.3, 122.8, 122.4, 113.7, 110.0, 76.5, 55.7, 35.2.

IR: 3268, 1730, 1692, 1593, 1494,1469, 1317, 1262, 1139, 1084, 762, 697, 591 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{19}NO_4SNa^+$ 416.0927; found 416.0930.

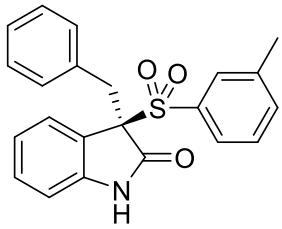


	Retention Time	Area	% Area
1	10.503	4749706	50.54
2	25.452	4647333	49.46



	Retention Time	Area	% Area
1	10.533	2164064	5.08
2	24.643	40415899	94.92

(R)-3-benzyl-3-(m-tolylsulfonyl)indolin-2-one (C41)



C41

White solid; 34.7 mg, 92% yield, 92.5:7.5 er; melting point: 238-240 °C; $[\alpha]_D^{24.1}$ = -70.2 (*c* = 0.48 in CH_2Cl_2).

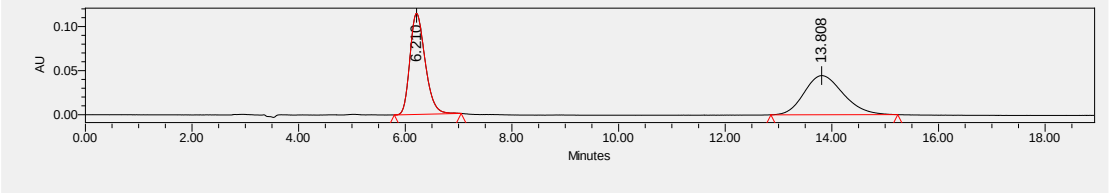
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 13.70 min, t_R(minor) = 6.20 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.87 (s, 1H), 7.79 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.43 – 7.30 (m, 3H), 7.26 – 7.12 (m, 3H), 7.03 – 6.90 (m, 5H), 6.49 (dd, *J* = 7.2, 1.6 Hz, 1H), 3.89 (d, *J* = 13.2 Hz, 1H), 3.73 (d, *J* = 13.2 Hz, 1H), 2.26 (s, 3H).

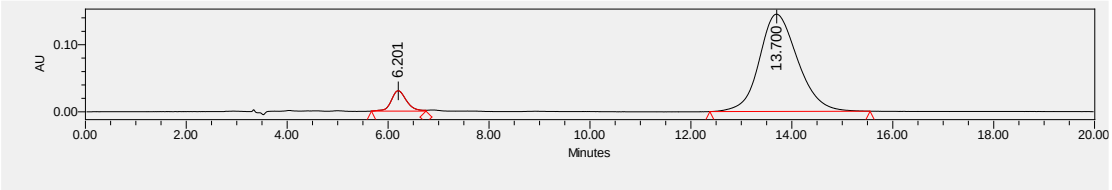
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.5, 141.4, 138.7, 135.1, 134.7, 133.0, 130.7, 130.4, 130.2, 128.3, 128.1, 127.5, 127.4, 127.2, 122.9, 122.2, 109.8, 76.5, 34.9, 21.1.

IR: 3259, 1734, 1693, 1471, 1318, 1110, 758, 697. 606, 519 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{19}NO_3SNa^+$ 400.0978; found 400.0983.

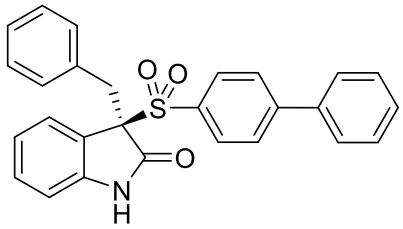


	Retention Time	Area	% Area
1	6.210	2272279	50.11
2	13.808	2262119	49.89



	Retention Time	Area	% Area
1	6.201	635609	7.67
2	13.700	7654945	92.33

(R)-3-([1,1'-biphenyl]-4-ylsulfonyl)-3-benzylindolin-2-one (C42)



C42

White solid; 35.6 mg, 81% yield, 95:5 er; melting point: 175-176 °C; $[\alpha]_D^{24.7}$ = 12.5 (*c* = 0.56 in CH_2Cl_2).

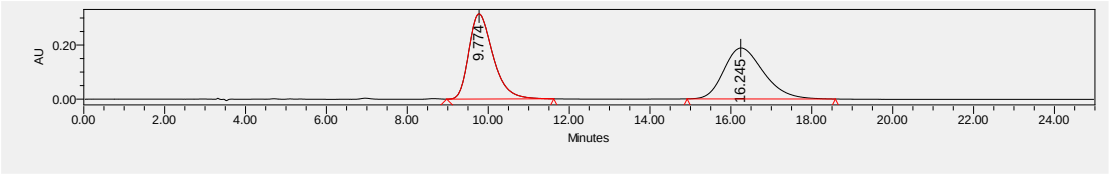
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.50 min, t_R(minor) = 9.72 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (s, 1H), 7.81 (m, 1H), 7.64 (m, 2H), 7.54 (m, 4H), 7.49 – 7.37 (m, 3H), 7.17 (m, 2H), 7.02 – 6.92 (m, 5H), 6.50 (m, 1H), 3.90 (d, *J* = 13.2 Hz, 1H), 3.75 (d, *J* = 13.2 Hz, 1H).

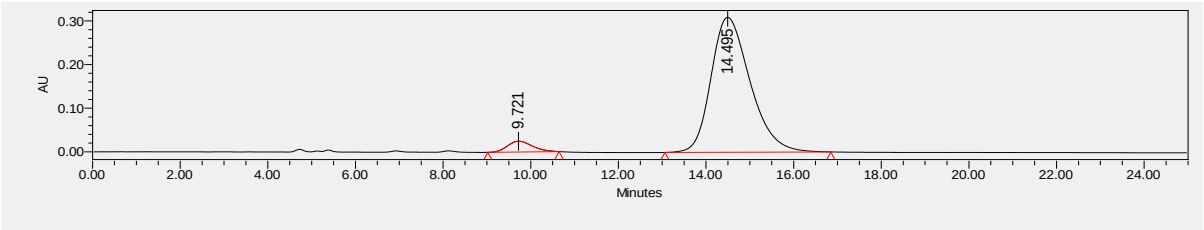
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.5, 147.0, 141.4, 138.8, 133.5, 133.0, 130.9, 130.5, 130.2, 129.1, 128.8, 128.1, 127.4, 127.4, 127.2, 127.0, 122.9, 122.1, 110.0, 76.5, 35.2.

IR: 3272, 1715, 1617, 1593, 1471, 1314, 1143, 1084, 736, 626, 567, 539, 509 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{27}H_{21}NO_3SNa^+$ 462.1135; found 462.1137.

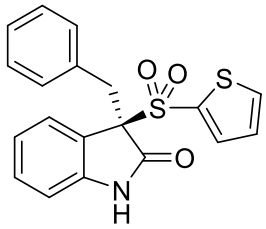


	Retention Time	Area	% Area
1	9.774	13061810	50.46
2	16.245	12823374	49.54



	Retention Time	Area	% Area
1	9.721	982693	4.88
2	14.495	19149077	95.12

(R)-3-benzyl-3-(thiophen-2-ylsulfonyl)indolin-2-one (C43)



C43

Yellow solid; 28.8 mg, 78% yield, 94:6 er; melting point: 248-250 °C; $[\alpha]_D^{23.9}$ = -79.8 (*c* = 0.48 in CH_2Cl_2).

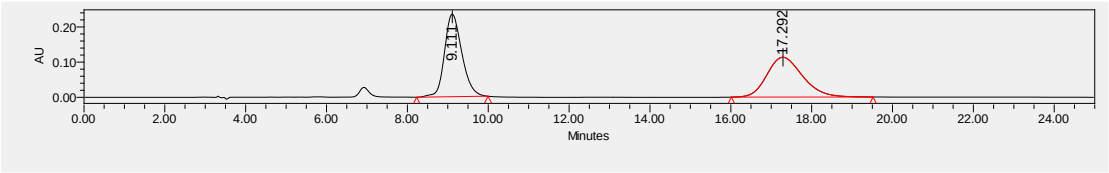
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 16.13 min, t_R(minor) = 9.23 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.08 (s, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.65 (d, *J* = 4.8 Hz, 1H), 7.42 (d, *J* = 4.0 Hz, 1H), 7.23 (t, *J* = 7.6 Hz, 1H), 7.16 (t, *J* = 7.6 Hz, 1H), 7.11 – 6.88 (m, 6H), 6.58 (d, *J* = 7.6 Hz, 1H), 3.90 (d, *J* = 12.8 Hz, 1H), 3.73 (d, *J* = 12.8 Hz, 1H).

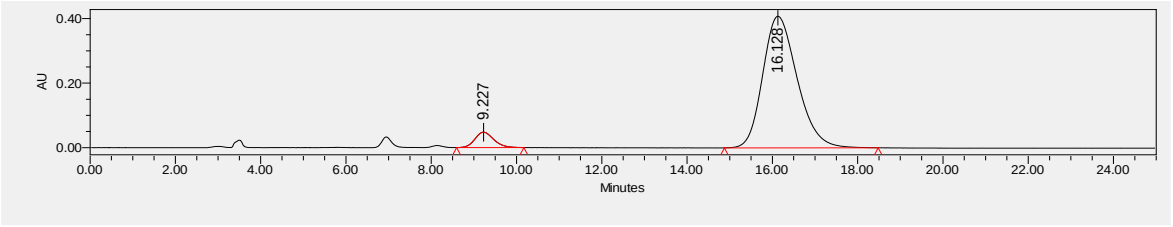
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 141.6, 136.9, 136.0, 135.2, 132.9, 130.7, 130.2, 128.1, 127.5, 127.4, 127.3, 123.0, 122.2, 110.0, 76.9, 35.3.

IR: 3275, 1730, 1694, 1617, 1470, 1397, 1320, 1142, 1017, 697, 634, 569, 538 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{19}H_{15}NO_3S_2Na^+$ 392.0386; found 392.0386.

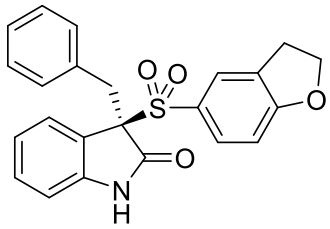


	Retention Time	Area	% Area
1	9.111	7003497	50.23
2	17.292	6939957	49.77



	Retention Time	Area	% Area
1	9.227	1456225	5.99
2	16.128	22859292	94.01

(R)-3-benzyl-3-((2,3-dihydrobenzofuran-5-yl)sulfonyl)indolin-2-one (C44)



C44

White solid; 34.0 mg, 84% yield, 93:7 er; melting point: 227-229 °C; $[\alpha]_D^{24.2}$ = -19.4 (*c* = 0.48 in CH_2Cl_2/CH_3OH = 4/1).

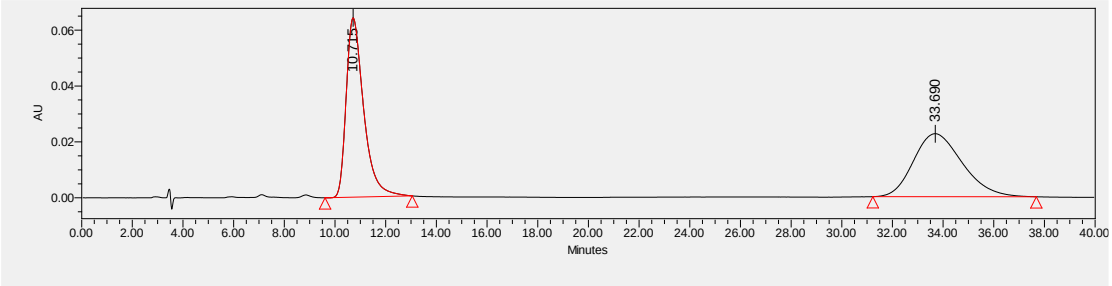
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 33.67 min, t_R(minor) = 10.83 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.85 (s, 1H), 7.77 (d, *J* = 7.6 Hz, 1H), 7.39 (s, 1H), 7.37 – 7.30 (m, 1H), 7.16 (m, 2H), 6.96 (m, 5H), 6.66 (d, *J* = 8.4 Hz, 1H), 6.53 (d, *J* = 7.6 Hz, 1H), 4.64 (t, *J* = 9.6 Hz, 2H), 3.86 (d, *J* = 13.2 Hz, 1H), 3.71 (d, *J* = 13.2 Hz, 1H), 3.13 (m, 2H).

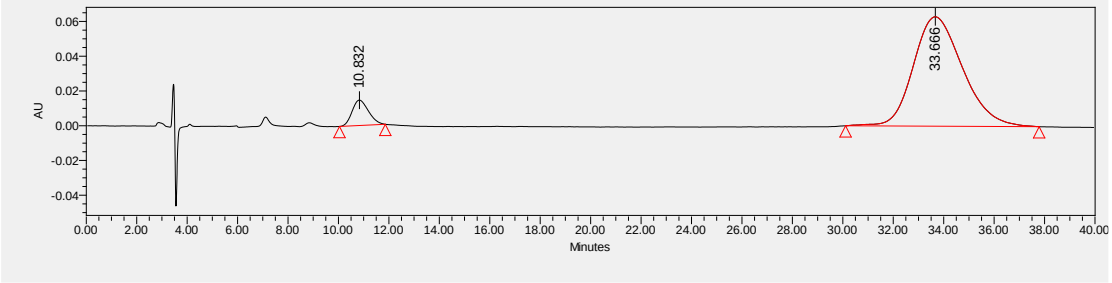
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.6, 165.2, 141.4, 133.2, 132.3, 130.3, 130.1, 128.1, 127.9, 127.6, 127.3, 127.1, 126.2, 122.8, 122.5, 109.8, 109.0, 76.6, 72.5, 35.3, 28.7.

IR: 3275, 1729, 1692, 1484, 1469, 1326, 1244, 1133,1112, 1061, 761, 696, 605, 571, 527 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{19}NO_4SNa^+$ 428.0927; found 428.0931.

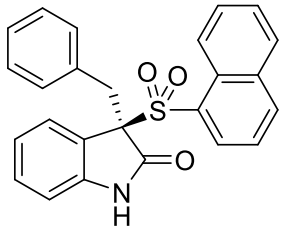


	Retention Time	Area	% Area
1	10.715	3067817	50.37
2	33.690	3023104	49.63



	Retention Time	Area	% Area
1	10.832	658772	7.17
2	33.666	8535335	92.83

(R)-3-benzyl-3-(naphthalen-1-ylsulfonyl)indolin-2-one (C45)



C45

White solid; 40.9 mg, 99% yield, 92:8 er; melting point: 210-212 °C; $[\alpha]_D^{24.2}$ = -49.6 (*c* = 0.52 in CH_2Cl_2).

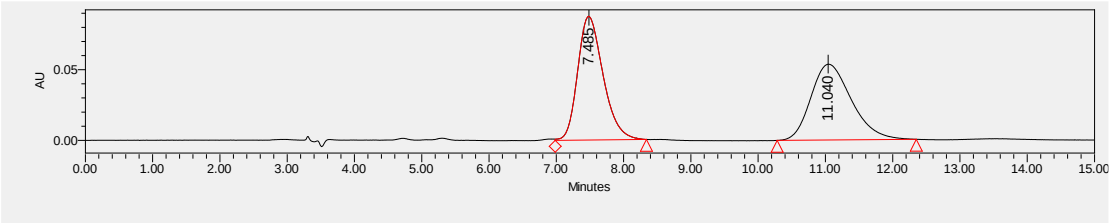
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 10.19 min, t_R(minor) = 7.58 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.71 (d, *J* = 8.4 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.99 (m, 1H), 7.87 – 7.70 (m, 3H), 7.46 (m, 1H), 7.42 – 7.32 (m, 2H), 7.16 – 7.04 (m, 2H), 7.01 – 6.86 (m, 5H), 6.31 – 6.21 (m, 1H), 3.92 (d, *J* = 13.2 Hz, 1H), 3.80 (d, *J* = 13.2 Hz, 1H).

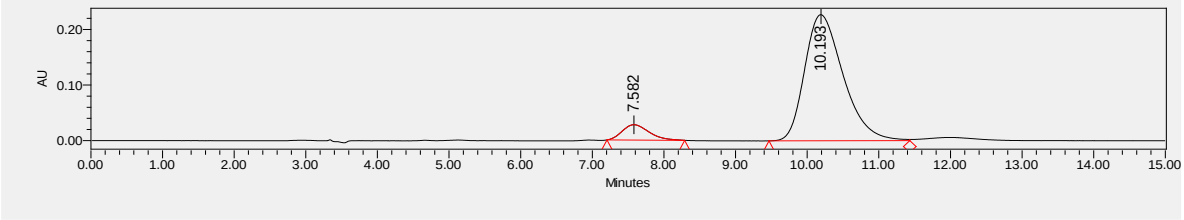
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 141.5, 136.2, 134.5, 133.6, 133.0, 130.6, 130.4, 130.3, 130.3, 128.4, 128.1, 128.0, 127.5, 127.1, 126.8, 125.2, 123.7, 122.8, 122.1, 109.9, 77.8, 35.2.

IR: 3292, 1733, 1698, 1617, 1470, 1312, 1149, 1123, 699, 676, 598, 519 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{19}NO_3SNa^+$ 436.0978; found 436.0983.

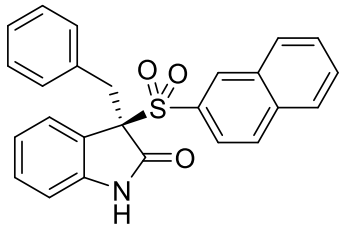


	Retention Time	Area	% Area
1	7.485	2253136	49.86
2	11.040	2265561	50.14



	Retention Time	Area	% Area
1	7.582	716840	7.79
2	10.193	8480567	92.21

(R)-3-benzyl-3-(naphthalen-2-ylsulfonyl)indolin-2-one (C46)



C46

White solid; 35.6 mg, 86% yield, 94:6 er; melting point: 243-245°C; $[\alpha]_D^{24.1}$= -13.9 (*c* = 0.54 in CH_2Cl_2).

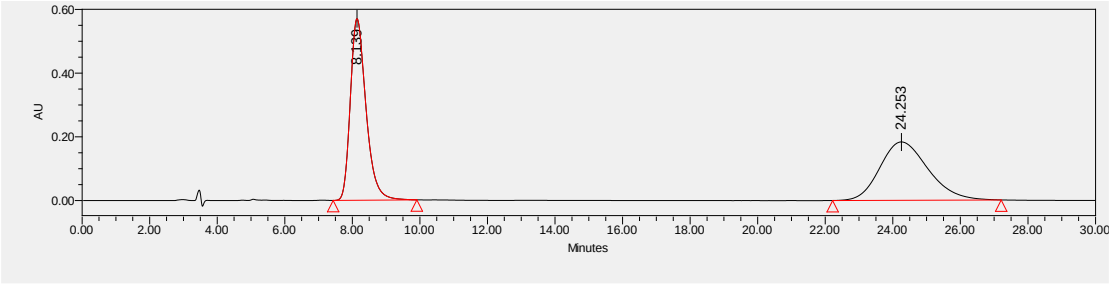
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 24.11 min, t_R(minor) = 8.17 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.15 (s, 1H), 7.91 – 7.80 (m, 2H), 7.76 (d, *J* = 8.8 Hz, 3H), 7.63 (t, *J* = 7.6 Hz, 1H), 7.59 – 7.49 (m, 2H), 7.16 (dt, *J* = 7.6, 5.6 Hz, 2H), 7.07 – 6.80 (m, 5H), 6.50 – 6.29 (m, 1H), 3.91 (d, *J* = 13.2 Hz, 1H), 3.79 (d, *J* = 13.2 Hz, 1H).

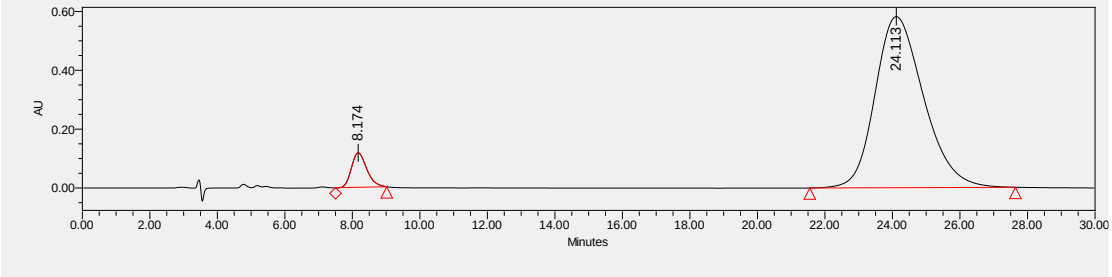
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.3, 141.4, 135.4, 133.0, 132.8, 131.9, 131.7, 130.5, 130.2, 129.6, 128.4, 128.1, 127.9, 127.5, 127.4, 127.2, 124.6, 122.9, 122.1, 109.9, 76.6, 35.2.

IR: 3258, 1732,1693, 1617,1470, 1314, 1144, 1127, 1070, 697, 638, 560, 528 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{19}NO_3SNa^+$ 436.0978; found 436.0984.

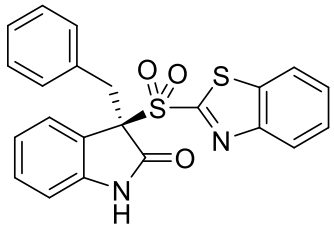


	Retention Time	Area	% Area
1	8.139	18398546	50.31
2	24.253	18169959	49.69



	Retention Time	Area	% Area
1	8.174	3693168	5.98
2	24.113	58088836	94.02

(R)-3-(benzo[d]thiazol-2-ylsulfonyl)-3-benzylindolin-2-one (C47)



C47

White solid; 25.2 mg, 60% yield, 72:28 er; melting point: 245-247 °C; $[\alpha]_D^{24.9}$ = -9.4 (*c* = 0.34 in CH_2Cl_2).

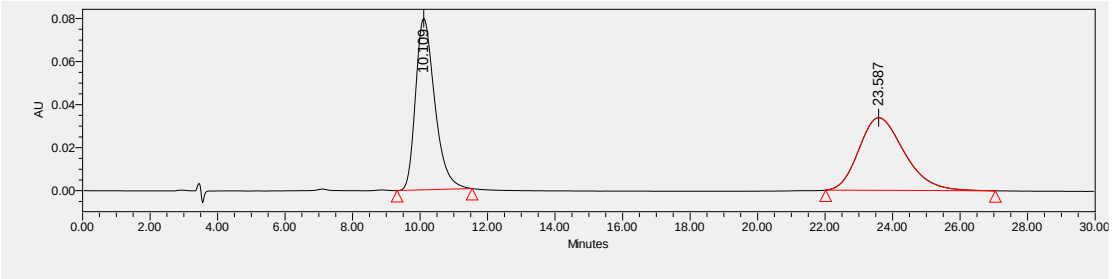
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 23.57 min, t_R(minor) = 10.13 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.24 (d, *J* = 8.0 Hz, 1H), 7.93 (d, *J* = 8.0 Hz, 1H), 7.87 (s, 1H), 7.75 (d, *J* = 7.6 Hz, 1H), 7.66 – 7.51 (m, 2H), 7.24 – 7.10 (m, 2H), 7.03 (m, 3H), 6.94 (d, *J* = 6.8 Hz, 2H), 6.55 (d, *J* = 7.6 Hz, 1H), 4.11 (d, *J* = 13.2 Hz, 1H), 3.92 (d, *J* = 13.2 Hz, 1H).

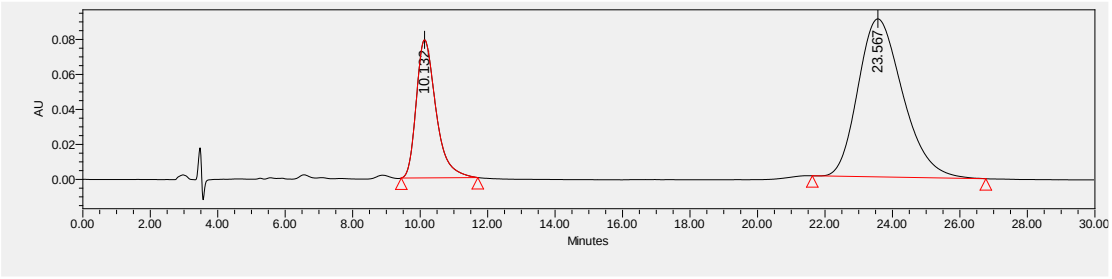
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 170.2, 162.3, 152.5, 141.7, 137.9, 132.4, 131.1, 130.3, 128.2, 128.2, 127.6, 127.4, 126.0, 123.2, 122.1, 120.6, 110.2, 77.2, 36.0.

IR: 3279, 1740, 1705, 1617, 1470, 1336, 1148, 726, 627, 530, 466 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{16}N_2O_3S_2Na^+$ 443.0495; found 443.0499.

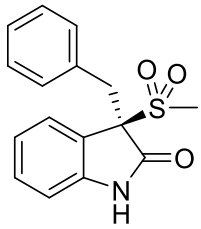


	Retention Time	Area	% Area
1	10.109	3144217	49.98
2	23.587	3146940	50.02



	Retention Time	Area	% Area
1	10.132	3188527	27.72
2	23.567	8312200	72.28

(R)-3-benzyl-3-(methylsulfonyl)indolin-2-one (C48)



C48

White solid; 22.6 mg, 75% yield, 94:6 er; melting point: 171-173°C; $[\alpha]_D^{24.7}$ = -103.3 (*c* = 0.36 in CH_2Cl_2).

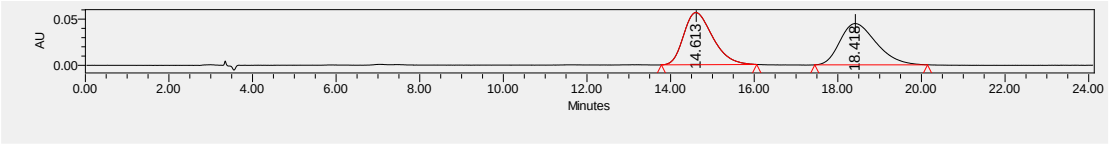
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 14.31 min, t_R(minor) = 18.19 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.67 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.23 (td, *J* = 7.6, 1.2 Hz, 1H), 7.12 (td, *J* = 7.6, 1.2 Hz, 1H), 7.10 – 7.01 (m, 3H), 6.95 (m, 2H), 6.69 – 6.64 (m, 1H), 3.74 (d, *J* = 12.8 Hz, 1H), 3.66 (d, *J* = 12.8 Hz, 1H), 3.14 (s, 3H).

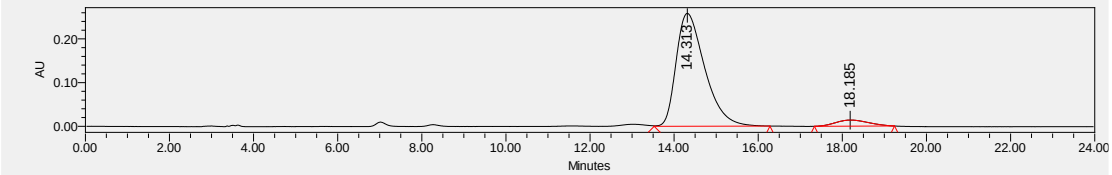
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.8, 141.6, 132.5, 130.8, 130.0, 128.2, 127.4, 127.1, 123.2, 121.0, 110.4, 75.3, 36.9, 36.3.

IR: 3291, 1715, 1617, 1471, 1304, 1100, 992, 752, 733, 698, 539, 503 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{15}NO_3SNa^+$ 324.0665; found 324.0666.

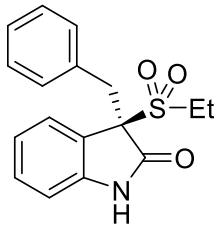


	Retention Time	Area	% Area
1	14.613	2722017	50.25
2	18.418	2694401	49.75



	Retention Time	Area	% Area
1	14.313	12194469	94.12
2	18.185	761324	5.88

(R)-3-benzyl-3-(ethylsulfonyl)indolin-2-one (C49)



C49

Yellow solid; 25.6 mg, 81% yield, 95:5 er; melting point: 192-194 °C; $[\alpha]_D^{24.6}$ = -90.3 (*c* = 0.30 in CH_2Cl_2).

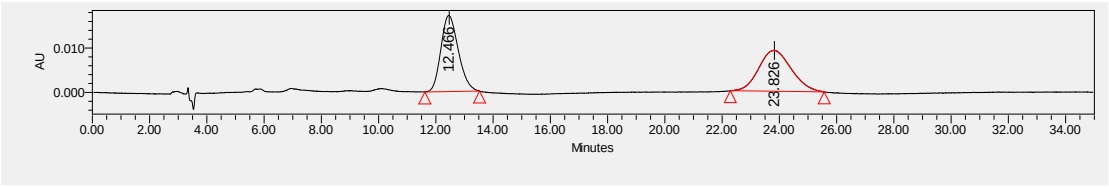
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 12.31 min, t_R(minor) = 23.88 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.69 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.24 (m, 1H), 7.16 – 7.10 (m, 1H), 7.09 – 7.01 (m, 3H), 6.99 – 6.90 (m, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 3.80 (d, *J* = 12.8 Hz, 1H), 3.65 (d, *J* = 12.8 Hz, 1H), 3.35 (m, 1H), 3.20 (m, 1H), 1.40 (t, *J* = 7.6 Hz, 3H).

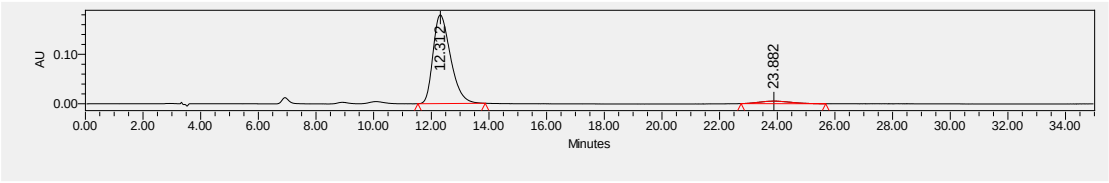
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.9, 141.4, 132.6, 130.7, 130.1, 128.2, 127.3, 127.1, 123.2, 121.6, 110.3, 75.1, 43.0, 36.4, 5.3.

IR: 3291, 1730, 1617, 1471, 1305, 1131, 757, 700, 565 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{17}NO_3SNa^+$ 338.0822; found 338.0823.

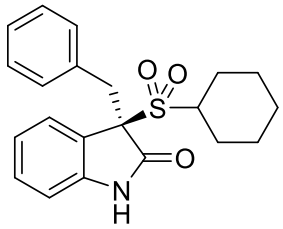


	Retention Time	Area	% Area
1	12.466	726703	50.04
2	23.826	725642	49.96



	Retention Time	Area	% Area
1	12.312	7565112	95.16
2	23.882	384699	4.84

(R)-3-benzyl-3-(cyclohexylsulfonyl)indolin-2-one (C50)



C50

White solid; 21.1 mg, 57% yield, 96:4 er; melting point: 210-211 °C; $[\alpha]_D^{24.3}$ = -52.9 (*c* = 0.38 in CH_2Cl_2).

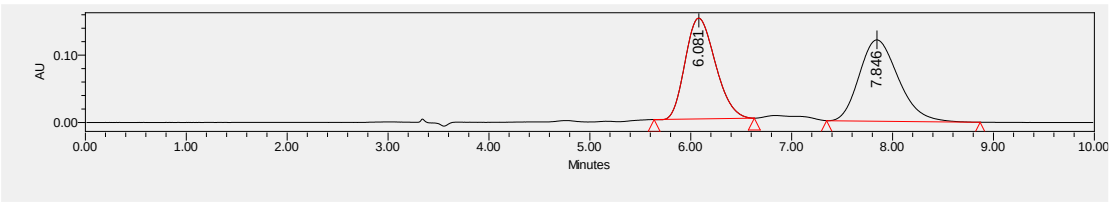
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 7.65 min, t_R(minor) = 6.12 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.22 (s, 1H), 7.71 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.25 (td, *J* = 7.6, 1.2 Hz, 1H), 7.13 (td, *J* = 7.6, 1.2 Hz, 1H), 7.10 – 7.02 (m, 1H), 7.02 – 6.96 (m, 2H), 6.91 (m, 2H), 6.73 (d, *J* = 7.6 Hz, 1H), 3.88 (d, *J* = 12.8 Hz, 1H), 3.67 (d, *J* = 12.8 Hz, 1H), 3.24 (m, 1H), 2.24 – 2.07 (m, 1H), 1.84 (m, 1H), 1.76 (m, 2H), 1.62 (m, 2H), 1.55 – 1.37 (m, 1H), 1.31 – 1.03 (m, 3H).

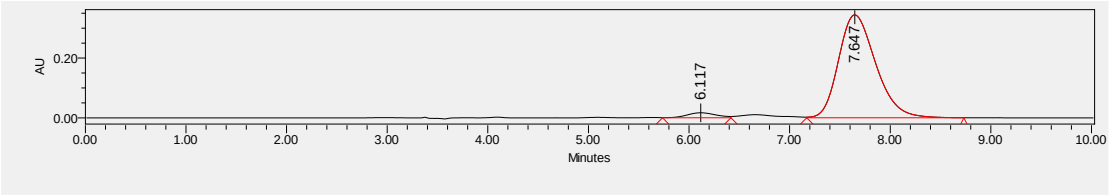
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 172.2, 141.0, 132.5, 130.5, 130.2, 128.0, 127.3, 127.0, 123.2, 122.8, 110.2, 75.0, 60.7, 36.4, 27.1, 26.2, 25.5, 25.4, 24.9.

IR: 3282, 2924, 2854, 1730, 1696, 1617, 1469, 1450, 1304, 1100, 762, 697, 640, 612, 576 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{23}NO_3SNa^+$ 392.1291; found 392.1292.

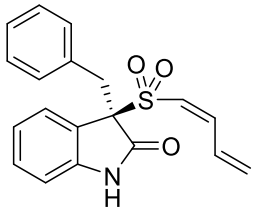


	Retention Time	Area	% Area
1	6.081	3147498	49.48
2	7.846	3214234	50.52



	Retention Time	Area	% Area
1	6.117	343546	3.75
2	7.647	8812402	96.25

(R,Z)-3-benzyl-3-(buta-1,3-dien-1-ylsulfonyl)indolin-2-one (C51)



C51

Yellow solid; 25.4 mg, 75% yield, 96:4 er; melting point: 202-204 °C; $[\alpha]_D^{20.4}$ = -55.7 (*c* = 0.44 in CH_2Cl_2).

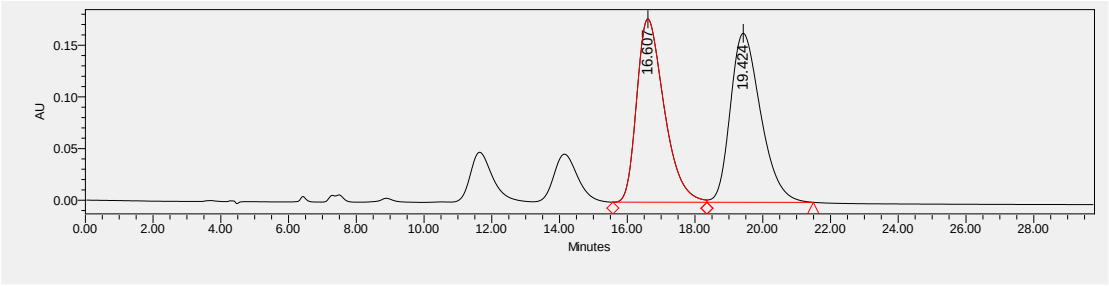
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 16.21 min, t_R(minor) = 19.29 min.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.96 (s, 1H), 7.76 – 7.68 (m, 1H), 7.42 (m, 1H), 7.23 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.13 (td, *J* = 7.6, 1.2 Hz, 1H), 7.09 – 6.99 (m, 3H), 6.99 – 6.90 (m, 2H), 6.81 (t, *J* = 11.2 Hz, 1H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.20 (d, *J* = 11.2 Hz, 1H), 5.66 – 5.54 (m, 2H), 3.79 (d, *J* = 13.2 Hz, 1H), 3.62 (d, *J* = 13.2 Hz, 1H).

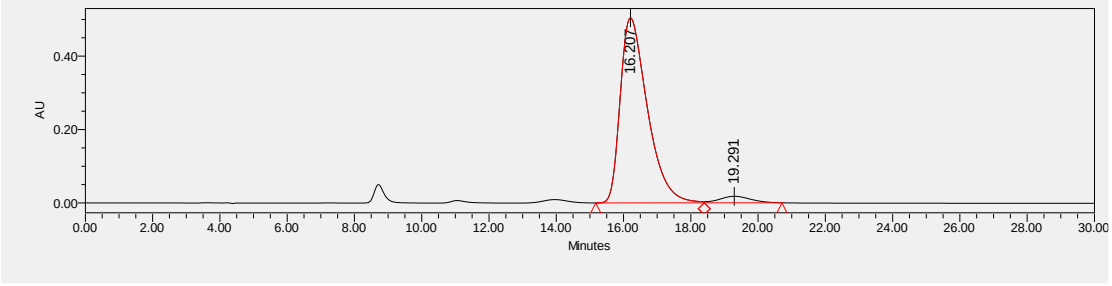
^{13}C NMR (101 MHz, $CDCl_3$) *δ* 171.5, 146.5, 141.7, 132.8, 130.6, 130.1, 130.0, 128.2, 127.3, 127.2, 123.1, 121.3, 121.0, 110.2, 76.3, 36.1.

IR: 3284, 1720, 1621, 1472, 1317, 1178, 753, 698, 670 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{19}H_{17}NO_3SNa^+$ 362.0821; found 362.0818.

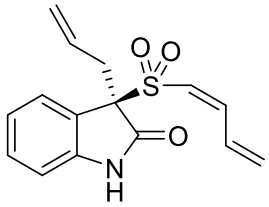


	Retention Time	Area	% Area
1	16.607	9950733	49.46
2	19.424	10167863	50.54



	Retention Time	Area	% Area
1	16.207	28278099	96.08
2	19.291	1152525	3.92

(R,Z)-3-allyl-3-(buta-1,3-dien-1-ylsulfonyl)indolin-2-one (C52)



C52

Yellow solid; 17.9 mg, 62% yield, 93:7 er; melting point: 139-140 °C; $[\alpha]_D^{23.1}$ = -48.3 (*c* = 0.48 in CH_2Cl_2/CH_3OH = 4/1).

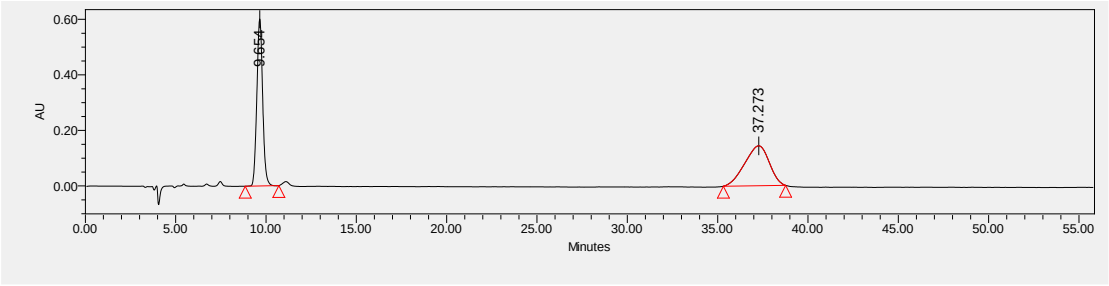
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ADH column, *i*-PrOH/n-hexane = 20/80, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 9.73 min, t_R(minor) = 37.68 min.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.57 (d, *J* = 7.6 Hz, 1H), 7.46 – 7.29 (m, 2H), 7.14 (td, *J* = 7.6, 1.2 Hz, 1H), 6.95 – 6.70 (m, 2H), 6.15 (d, *J* = 11.2 Hz, 1H), 5.65 – 5.54 (m, 2H), 5.31 (m, 1H), 5.12 (m, 1H), 4.98 (dd, *J* = 10.0, 1.6 Hz, 1H), 3.29 – 3.04 (m, 2H).

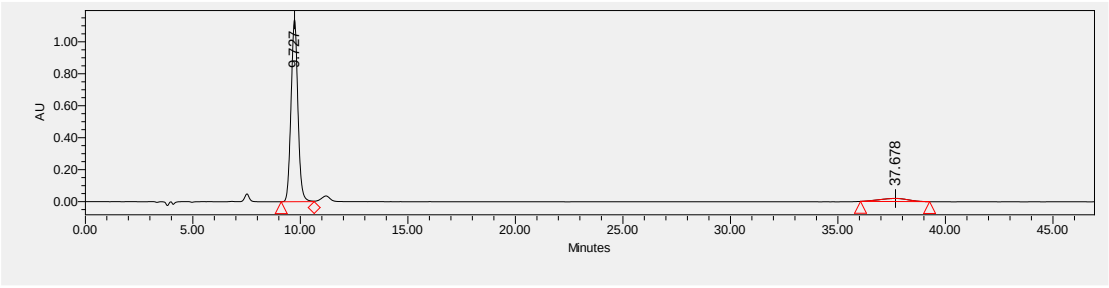
^{13}C NMR (101 MHz, $CDCl_3$) δ 171.8, 146.5, 141.9, 130.7, 130.1, 130.0, 129.1, 126.9, 123.3, 121.5, 121.2, 120.9, 110.4, 74.8, 34.7.

IR: 3292, 1720, 1619, 1471, 1318, 1131, 934, 669 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{15}H_{15}NO_3SNa^+$ 312.0665; found 312.0664.

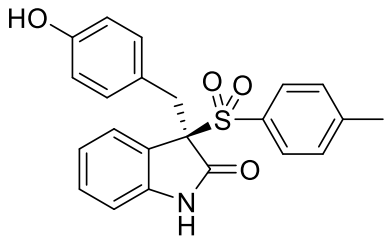


	Retention Time	Area	% Area
1	9.654	13508329	49.87
2	37.273	13580007	50.13



	Retention Time	Area	% Area
1	9.727	25182161	93.29
2	37.678	1810652	6.71

(R)-3-(4-hydroxybenzyl)-3-tosylindolin-2-one (D1)



D1

white solid; 7.5 mg, 96% yield, 94:6 er; melting point: 247-248 °C; $[\alpha]_D^{24.6}$ = -21.0 (*c* = 0.20 in CH_2Cl_2).

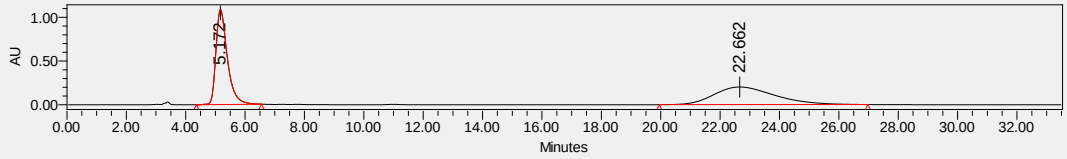
Dissolved in *i*-PrOH for HPLC; HPLC (Chiral ODH column, *i*-PrOH/n-hexane = 30/70, flow rate: 1.0 mL/min, 254 nm), t_R(major) = 22.66 min, t_R(minor) = 5.23 min.

^{1}H NMR (400 MHz, DMSO-d6) *δ* 10.44 (s, 1H), 9.20 (s, 1H), 7.62 (m, 1H), 7.38 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.18 (td, *J* = 7.6, 1.2 Hz, 1H), 7.06 (td, *J* = 7.6, 1.2 Hz, 1H), 6.73 – 6.64 (m, 2H), 6.48 (d, *J* = 7.6 Hz, 1H), 6.44 – 6.30 (m, 2H), 3.53 (d, *J* = 13.2 Hz, 1H), 3.46 (d, *J* = 13.2 Hz, 1H), 2.36 (s, 3H).

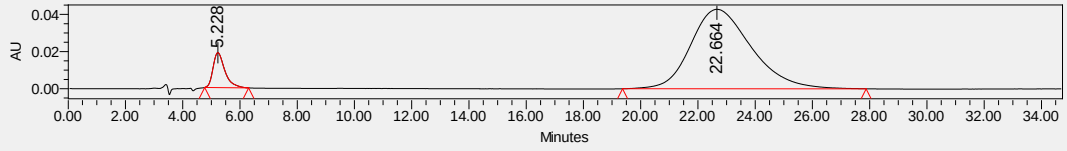
^{13}C NMR (101 MHz, DMSO-d6) *δ* 171.0, 156.6, 145.6, 143.4, 132.6, 131.4, 130.8, 130.4, 129.7, 127.5, 123.6, 122.2, 122.1, 109.9, 76.3, 34.2, 21.6.

IR: 3311, 2925, 1713, 1615, 1471, 1313, 1144, 749, 659, 575 cm^{-1}.

HRMS (FTMS+c ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{19}NO_4SNa^+$ 416.0927; found 416.0930.

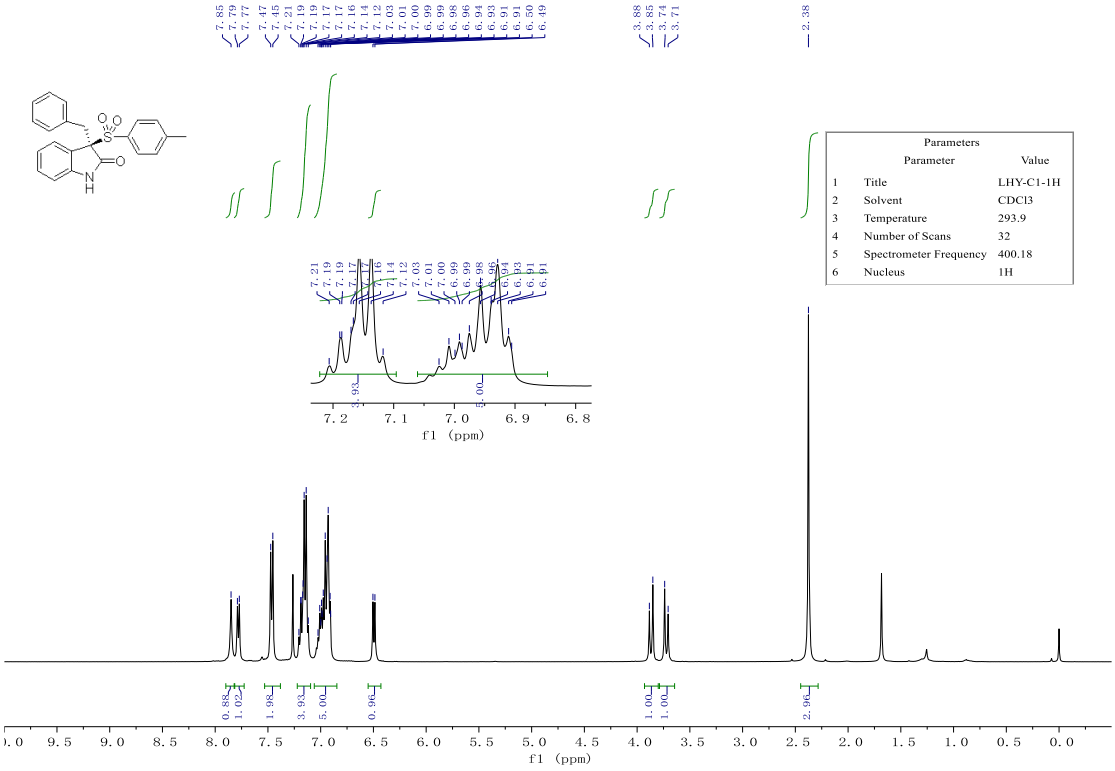


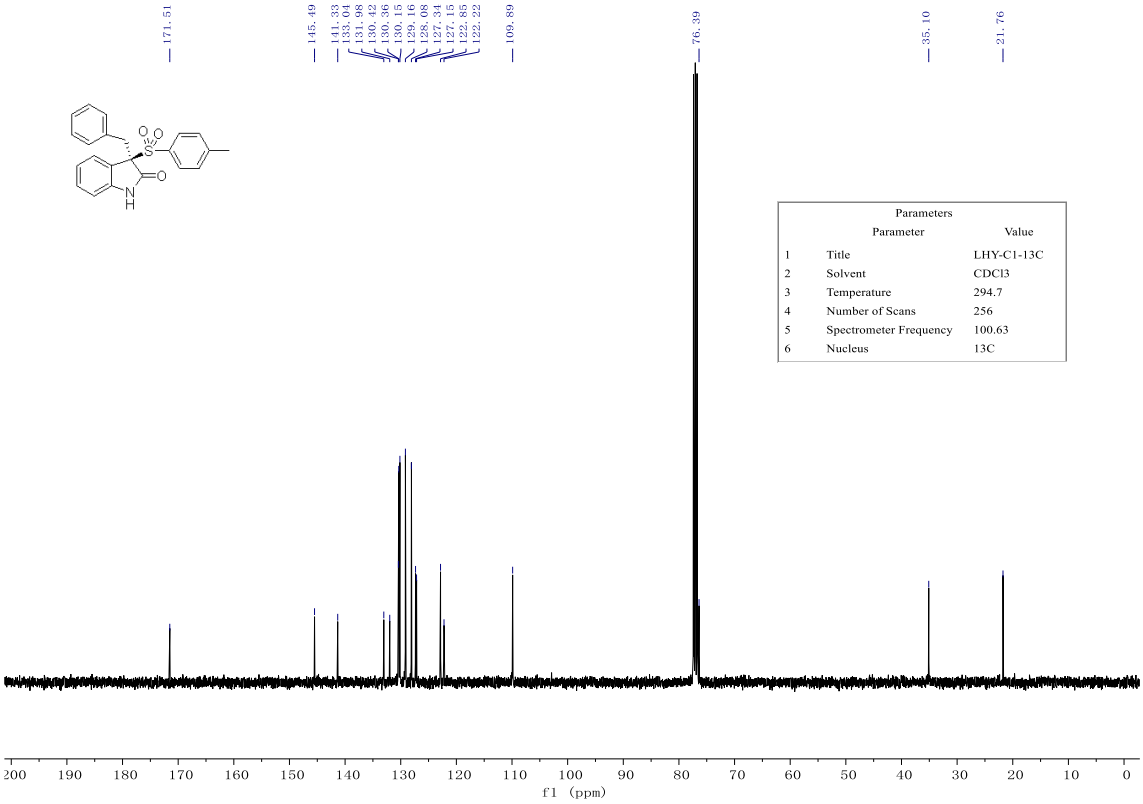
	Retention Time	Area	% Area
1	5.172	29046663	50.20
2	22.662	28816911	49.80

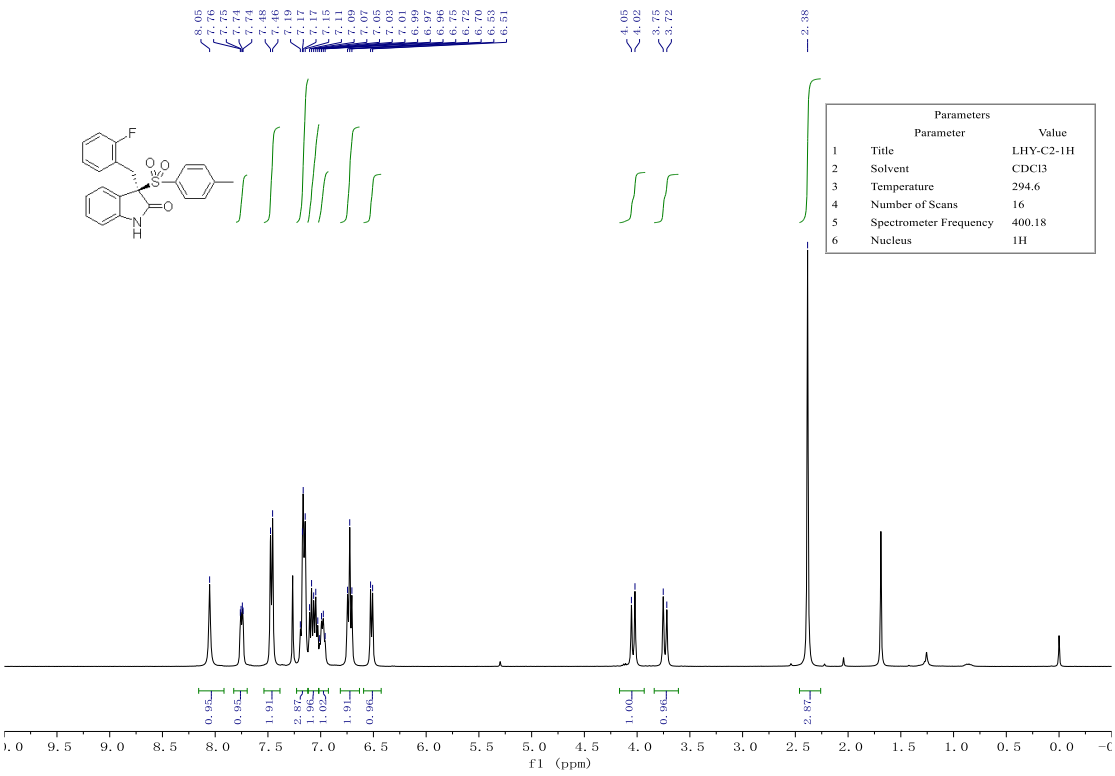


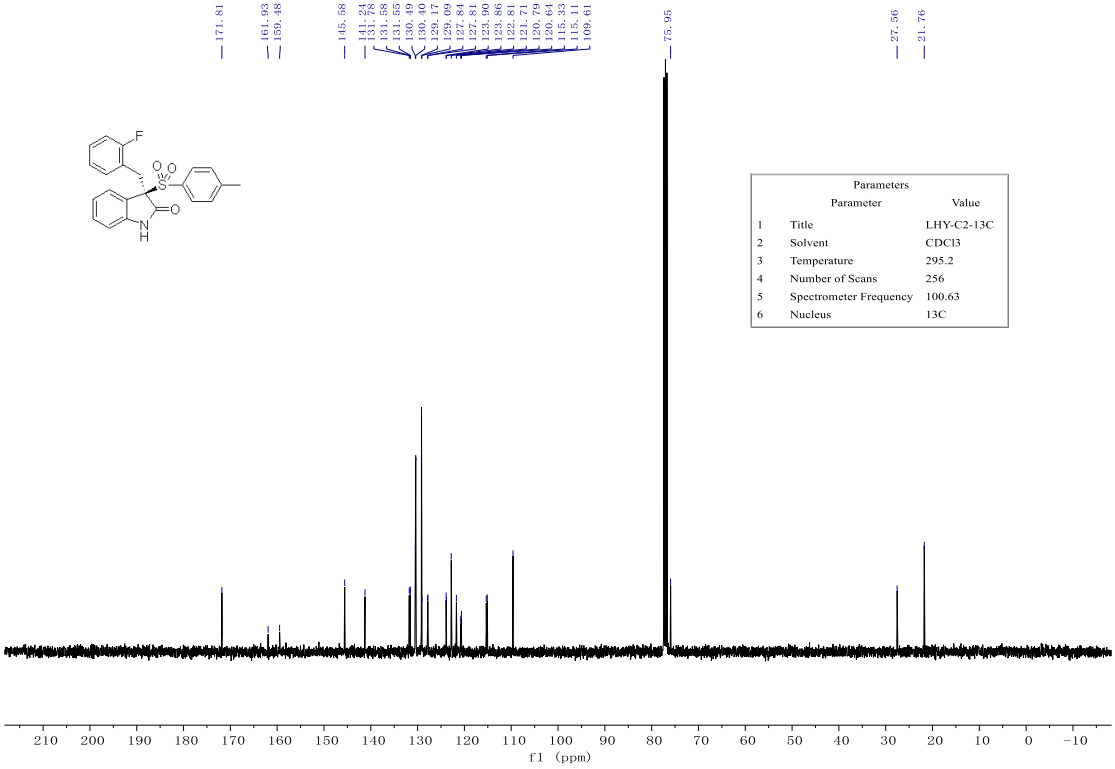
	Retention Time	Area	% Area
1	5.228	403354	6.01
2	22.664	6306905	93.99

10 Copies of NMR spectra for products

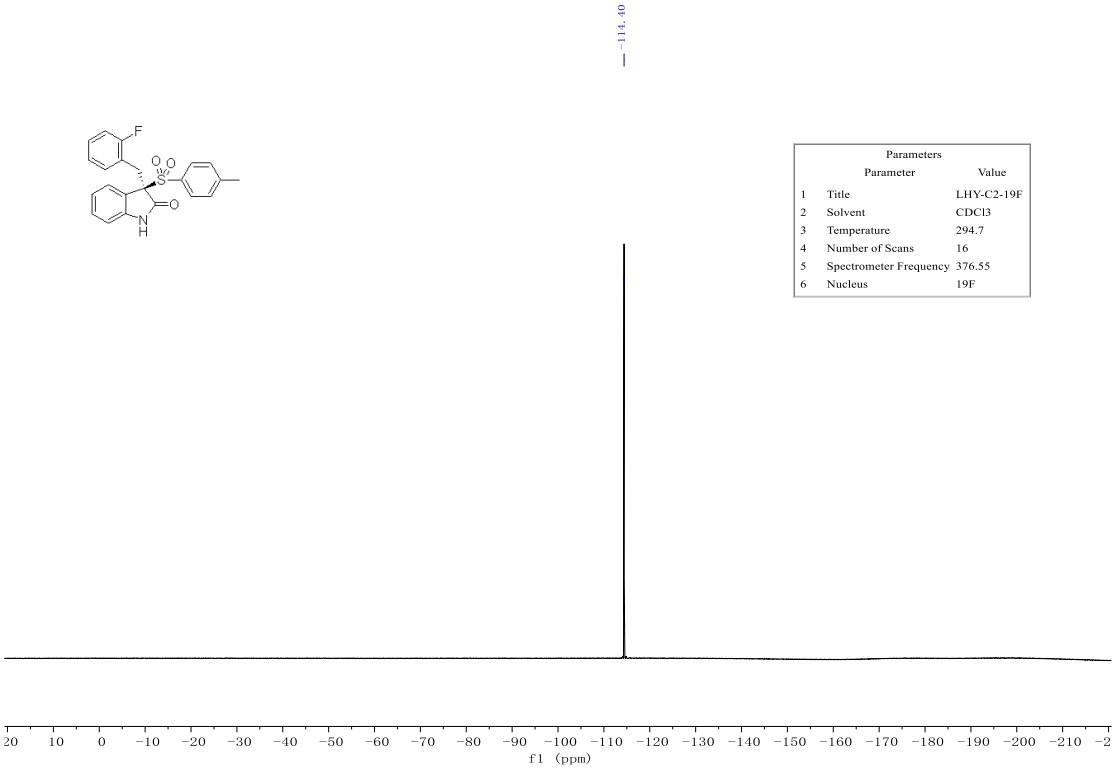


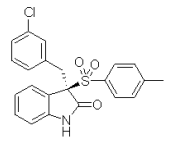


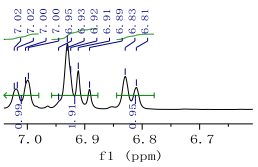

8.05
7.76
7.75
7.74
7.74
7.48
7.46
7.19
7.17
7.17
7.15
7.11
7.09
7.07
7.05
7.03
7.01
6.99
6.97
6.96
6.75
6.72
6.70
6.53
6.51
4.05
4.02
3.75
3.72
2.38
F
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C2-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
0.95
0.95
1.91
2.87
1.96
1.02
1.91
0.96
1.00
0.96
2.87
f1 (ppm)

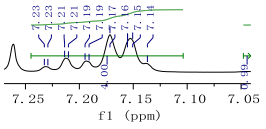

171.81
161.93
159.48
145.58
141.24
131.78
131.58
131.55
130.49
130.40
129.17
129.09
127.84
127.81
123.90
123.86
122.81
121.71
120.79
120.64
115.53
115.11
109.61
75.95
27.56
21.76
F
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C2-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

Parameters		
	Parameter	Value
1	Title	LHY-C2-19F
2	Solvent	CDCl3
3	Temperature	294.7
4	Number of Scans	16
5	Spectrometer Frequency	376.55
6	Nucleus	19F

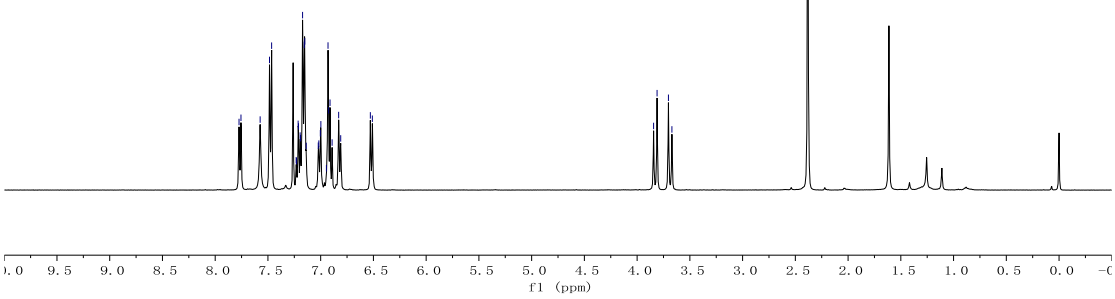


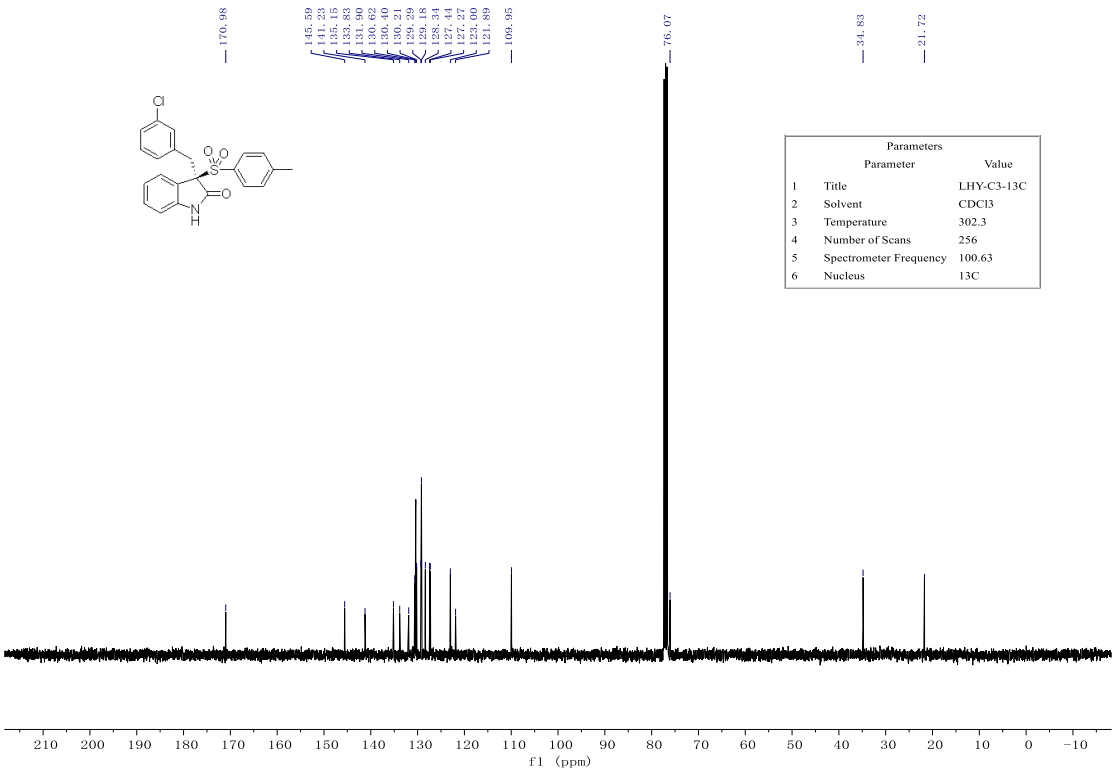


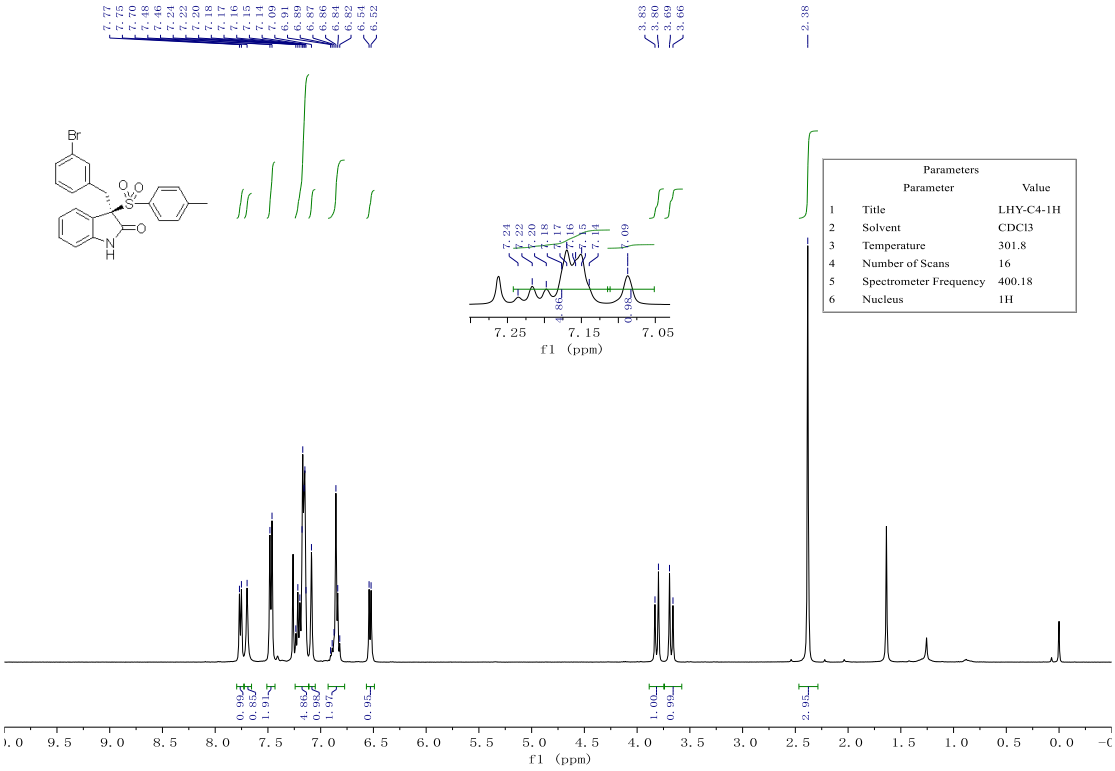


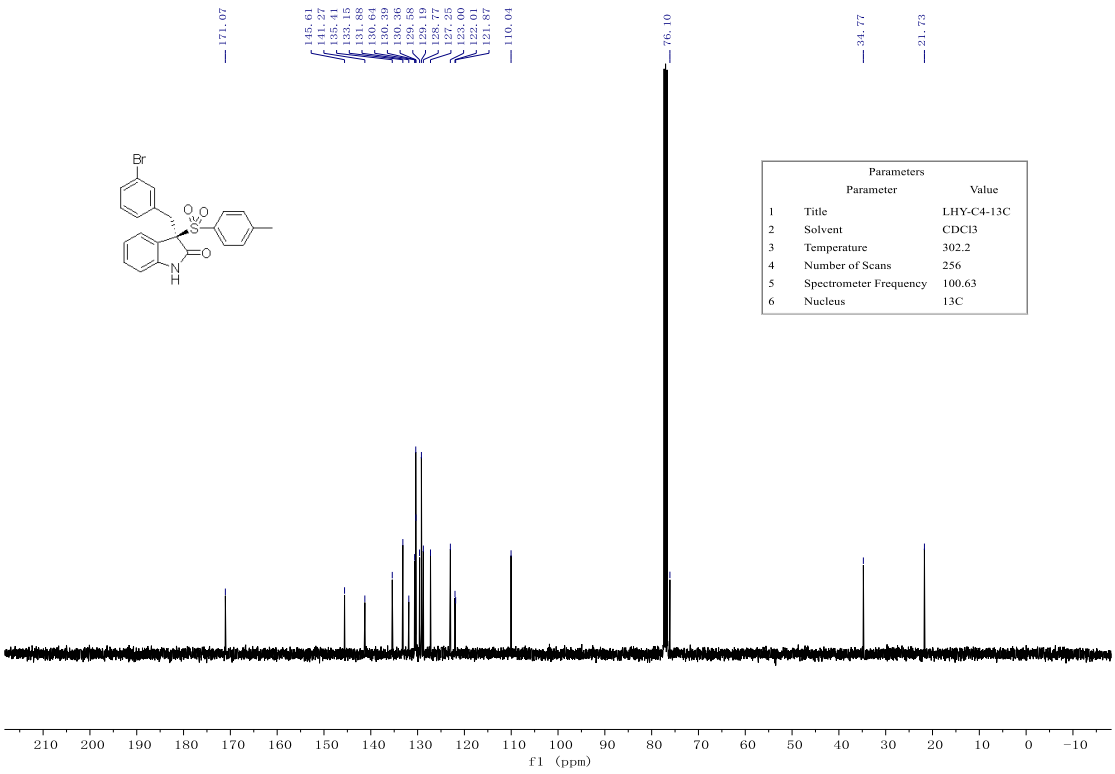


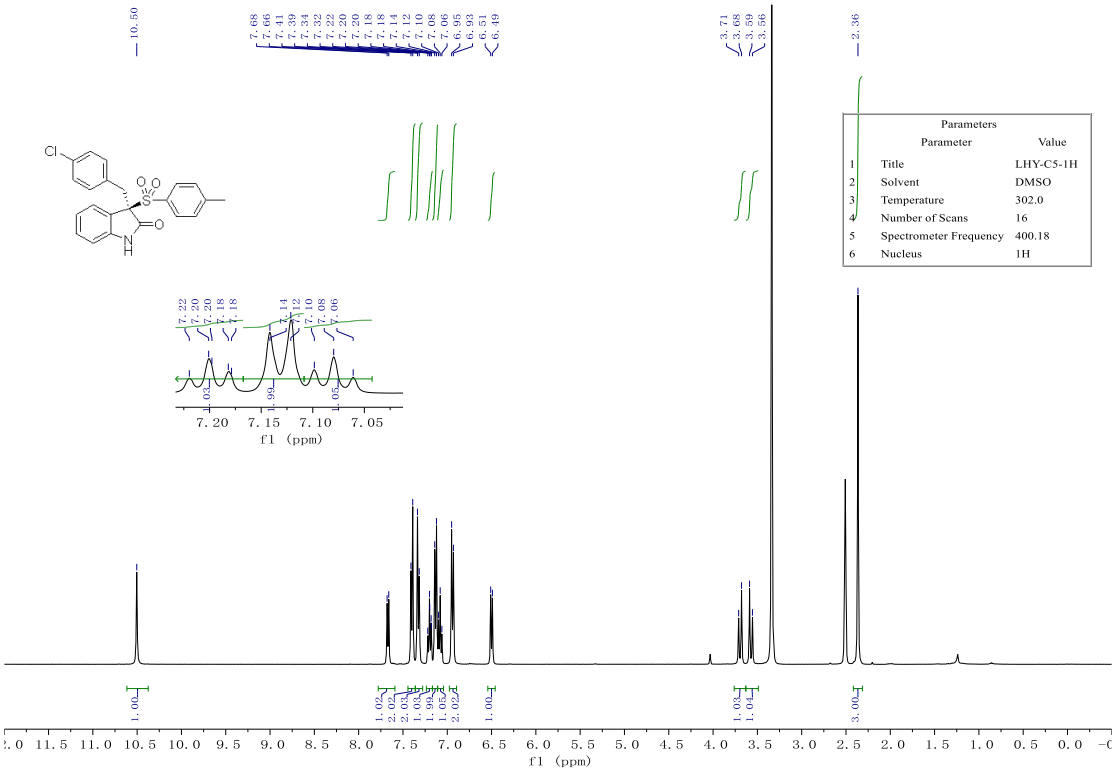
Parameters		
	Parameter	Value
1	Title	LHY-C3-1H
2	Solvent	CDCl3
3	Temperature	301.8
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

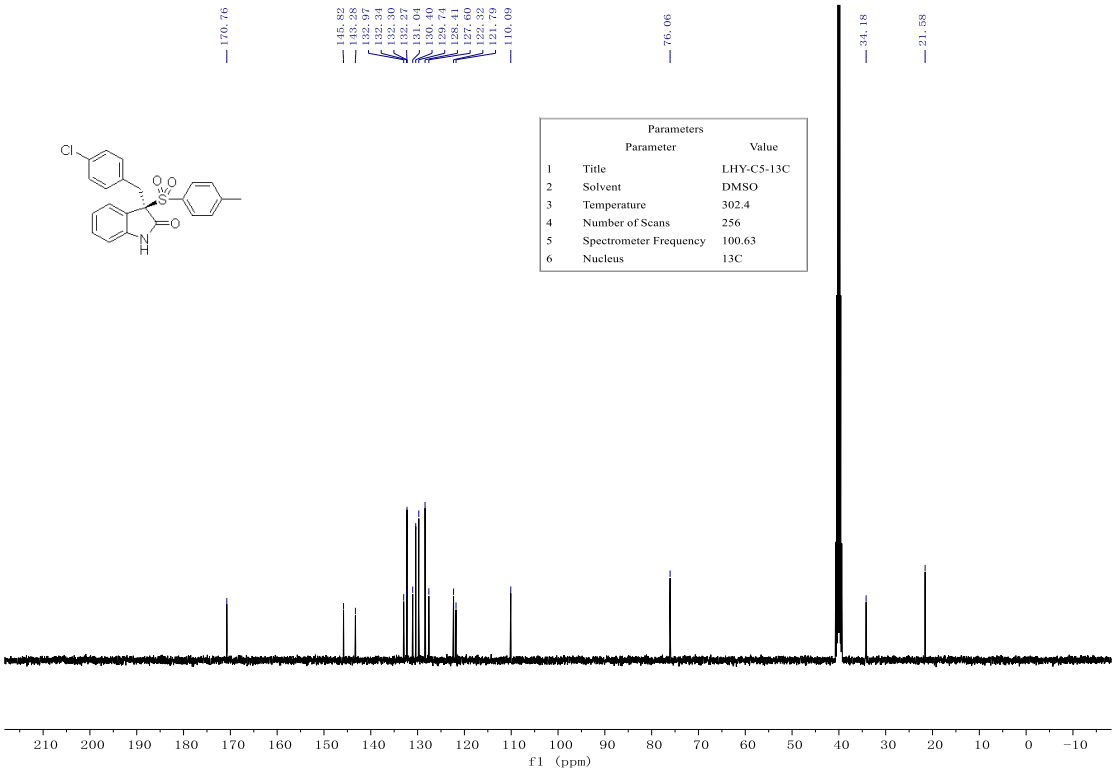


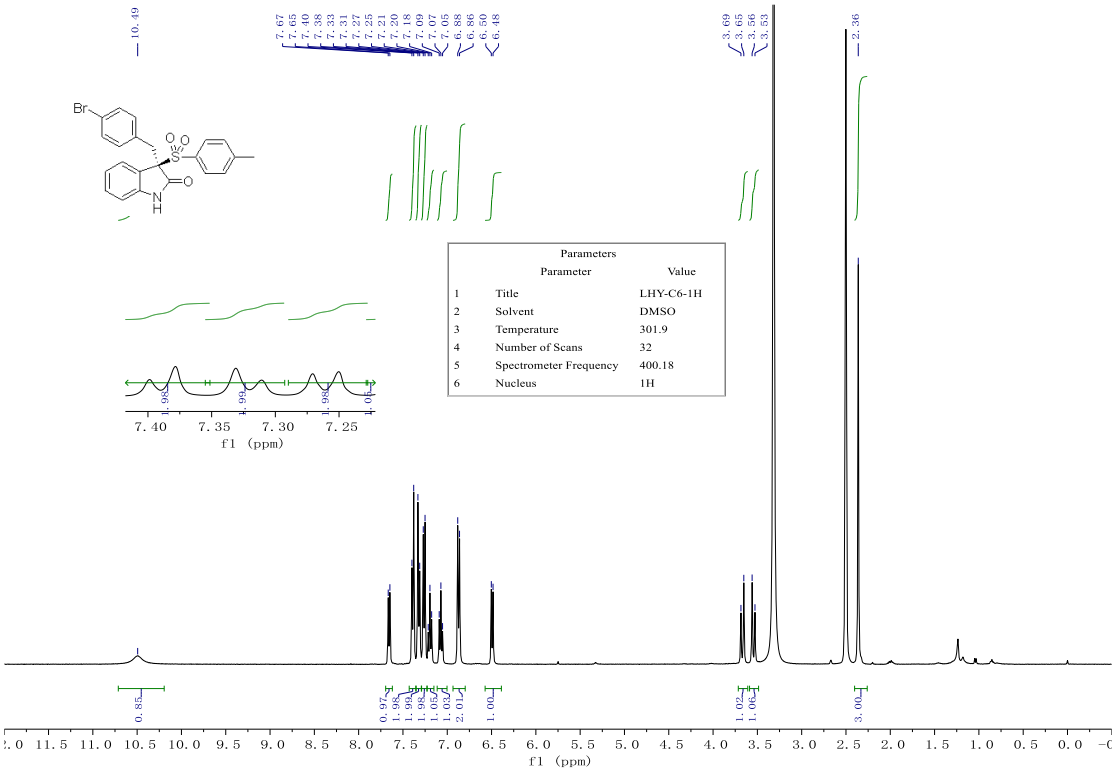

170.98
145.59
141.23
135.15
133.83
131.90
130.62
130.40
130.21
129.29
129.18
128.24
127.44
127.27
123.00
121.89
109.95
76.07
34.83
21.72
Cl
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C3-13C
2 Solvent CDCl3
3 Temperature 302.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

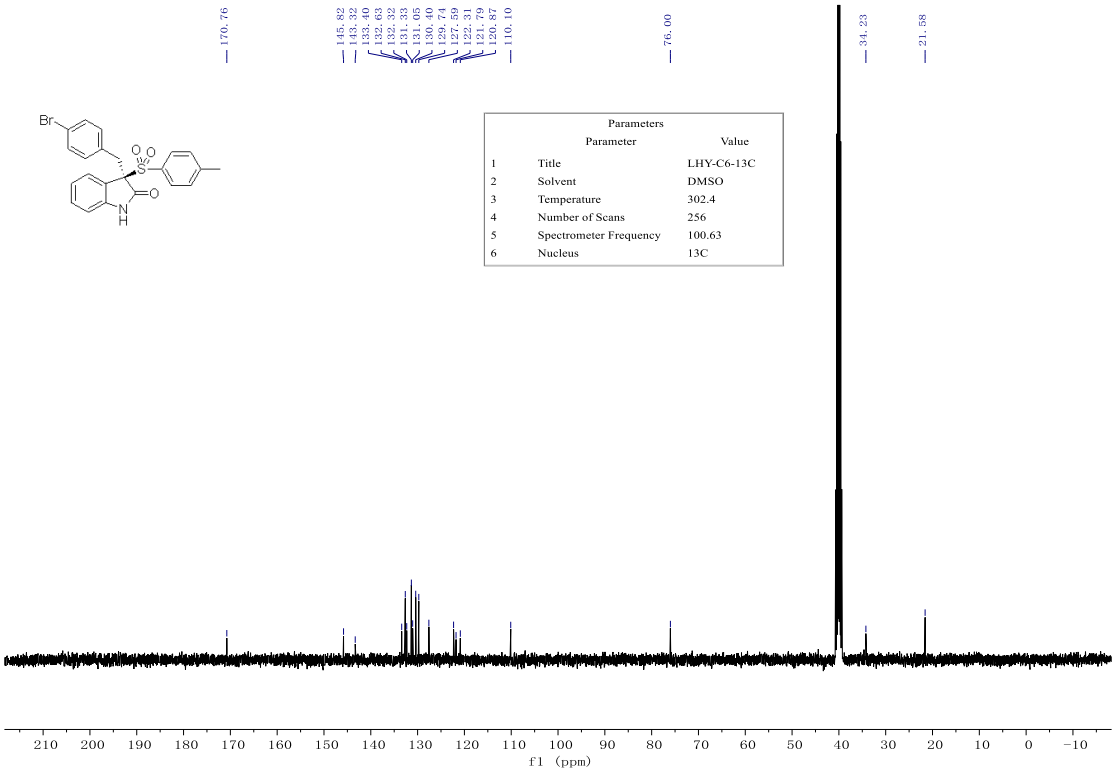

7.77
7.75
7.70
7.48
7.46
7.24
7.22
7.20
7.18
7.17
7.16
7.15
7.14
7.09
6.91
6.89
6.87
6.86
6.84
6.82
6.54
6.52
3.83
3.80
3.69
3.66
2.38
Br
O
O
S
N
H
O
7.24
7.22
7.20
7.18
7.17
7.16
7.15
7.14
7.09
0.86
0.98
7.25
7.15
7.05
f1 (ppm)
Parameters
Parameter Value
1 Title LHY-C4-1H
2 Solvent CDCl3
3 Temperature 301.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
0.99
0.85
1.91
4.86
0.98
1.97
0.95
1.00
0.99
2.95
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

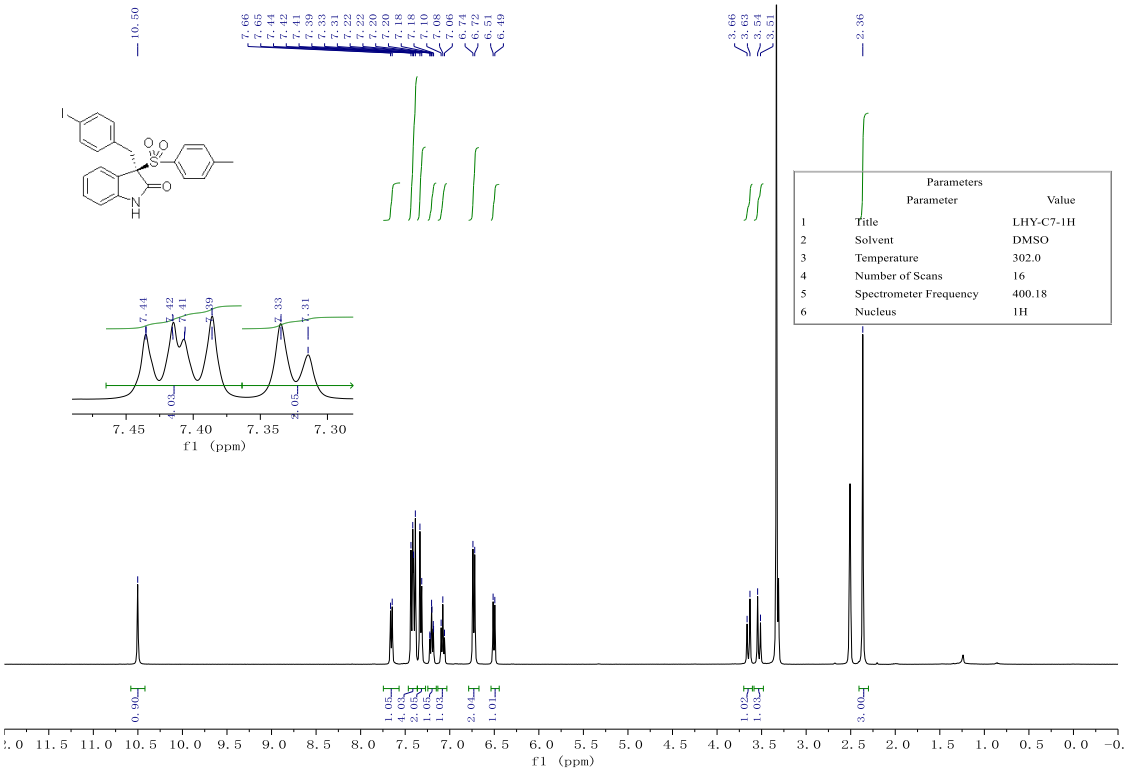

171.07
145.61
141.27
135.41
133.15
131.88
130.64
130.39
130.36
129.58
129.19
128.77
127.25
123.00
122.01
121.87
110.04
76.10
34.77
21.73
Br
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C4-13C
2 Solvent CDCl3
3 Temperature 302.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)


10.50
7.68
7.66
7.41
7.39
7.34
7.32
7.22
7.20
7.20
7.18
7.18
7.14
7.12
7.10
7.08
7.06
6.95
6.93
6.51
6.49
3.71
3.68
3.59
3.56
2.36
Cl
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C5-1H
2 Solvent DMSO
3 Temperature 302.0
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.22
7.20
7.20
7.18
7.18
7.14
7.12
7.10
7.08
7.06
1.02
1.90
1.05
7.20 7.15 7.10 7.05
f1 (ppm)
1.00
1.02
2.02
2.03
1.93
1.05
2.02
1.00
1.03
1.04
3.00
f1 (ppm)


170.76
145.82
143.28
137.97
132.34
132.30
132.27
131.04
130.40
129.74
128.41
127.60
122.32
121.79
110.09
76.06
34.18
21.58
Cl
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C5-13C
2 Solvent DMSO
3 Temperature 302.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)


10.49
7.67
7.65
7.40
7.38
7.33
7.31
7.27
7.25
7.21
7.20
7.18
7.09
7.07
7.05
6.88
6.86
6.50
6.48
3.69
3.65
3.56
3.53
2.36
Br
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C6-1H
2 Solvent DMSO
3 Temperature 301.9
4 Number of Scans 32
5 Spectrometer Frequency 400.18
6 Nucleus 1H
1.98
4.98
1.98
4.01
7.40 7.35 7.30 7.25
f1 (ppm)
0.85
0.97
1.98
1.98
1.05
1.03
2.01
1.00
1.02
1.06
3.00
f1 (ppm)


170.76
145.82
143.32
133.40
132.65
132.32
131.33
131.05
130.40
129.74
127.59
122.31
121.79
120.87
110.10
76.00
34.23
21.58
Br
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C6-13C
2 Solvent DMSO
3 Temperature 302.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)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7.66
7.65
7.44
7.42
7.41
7.39
7.33
7.31
7.22
7.20
7.18
7.10
7.06
6.74
6.72
6.51
6.49
3.66
3.63
3.54
3.51
2.36
I
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C7-1H
2 Solvent DMSO
3 Temperature 302.0
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.44
7.42
7.41
7.39
7.33
7.31
4.05
2.05
7.45 7.40 7.35 7.30
f1 (ppm)
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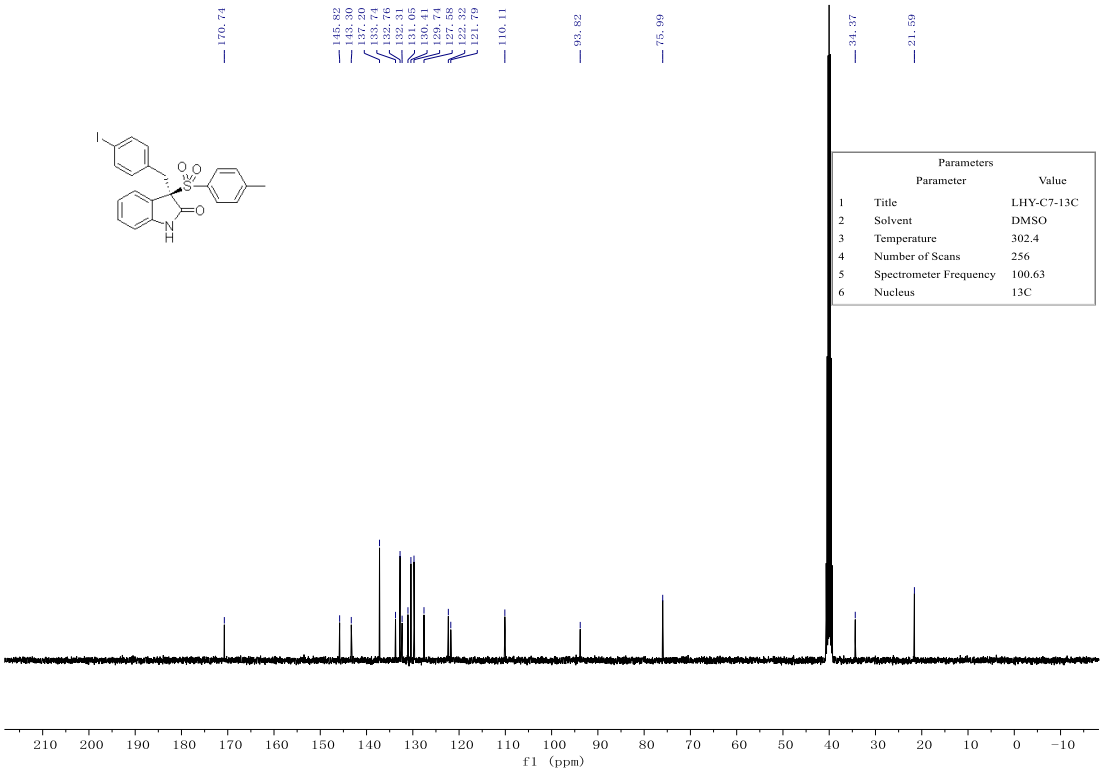
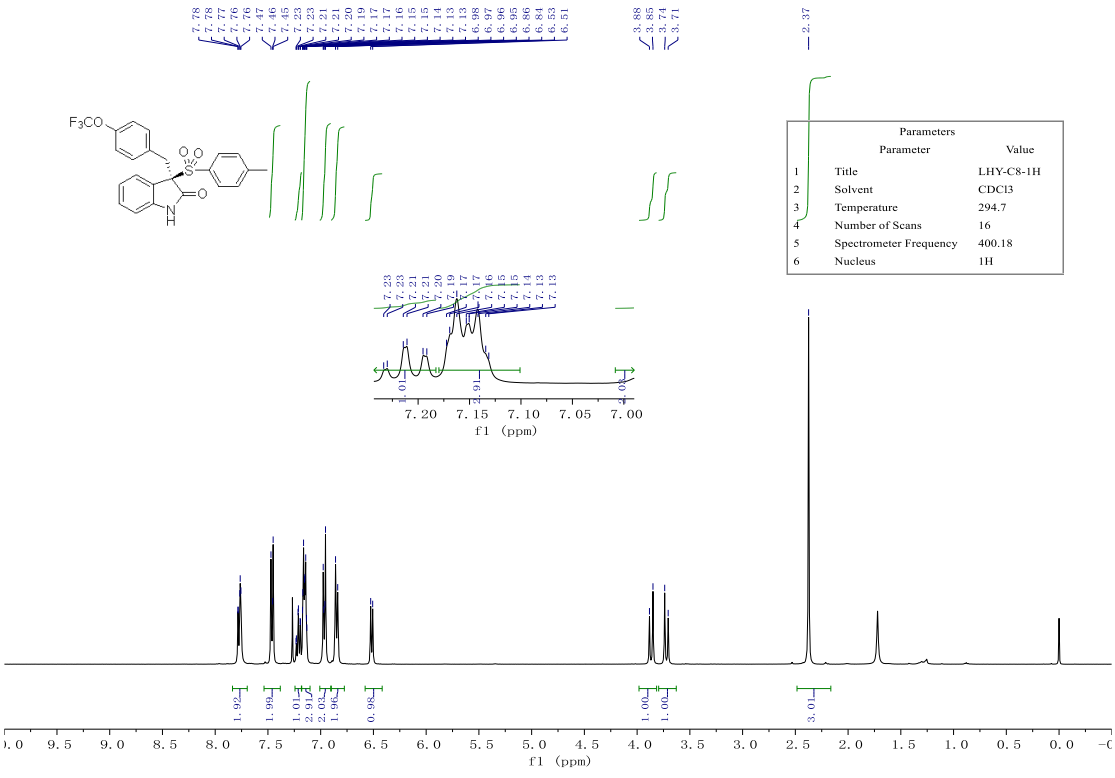
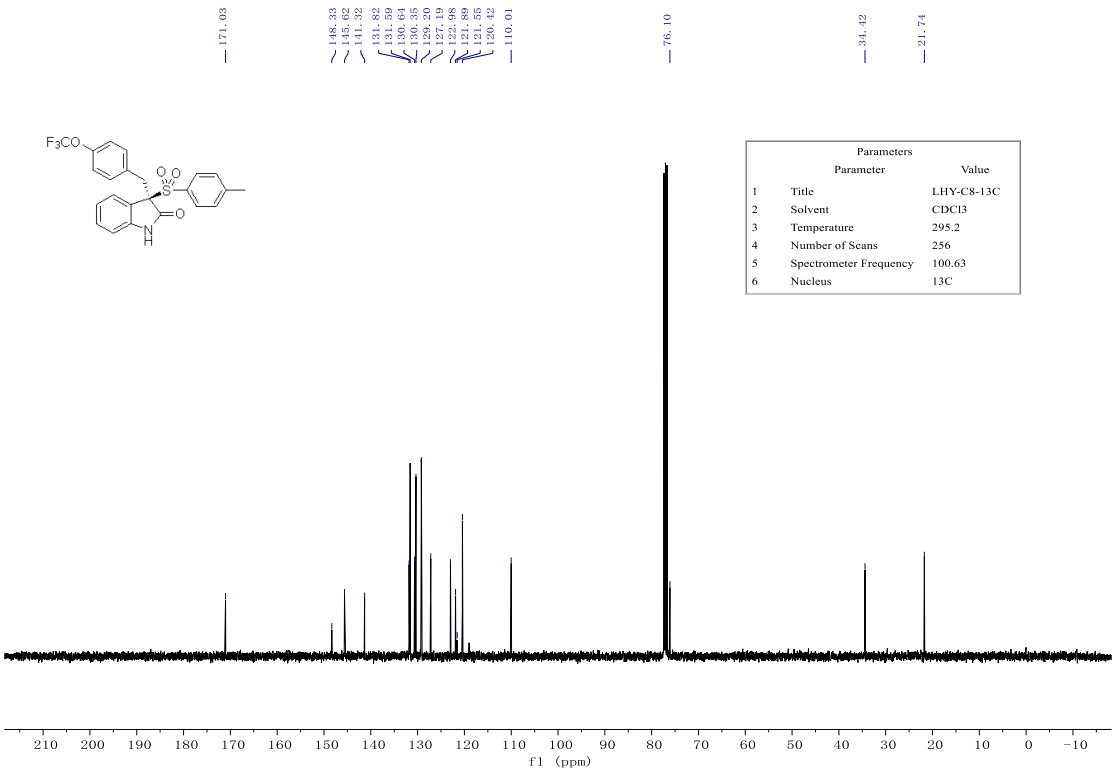
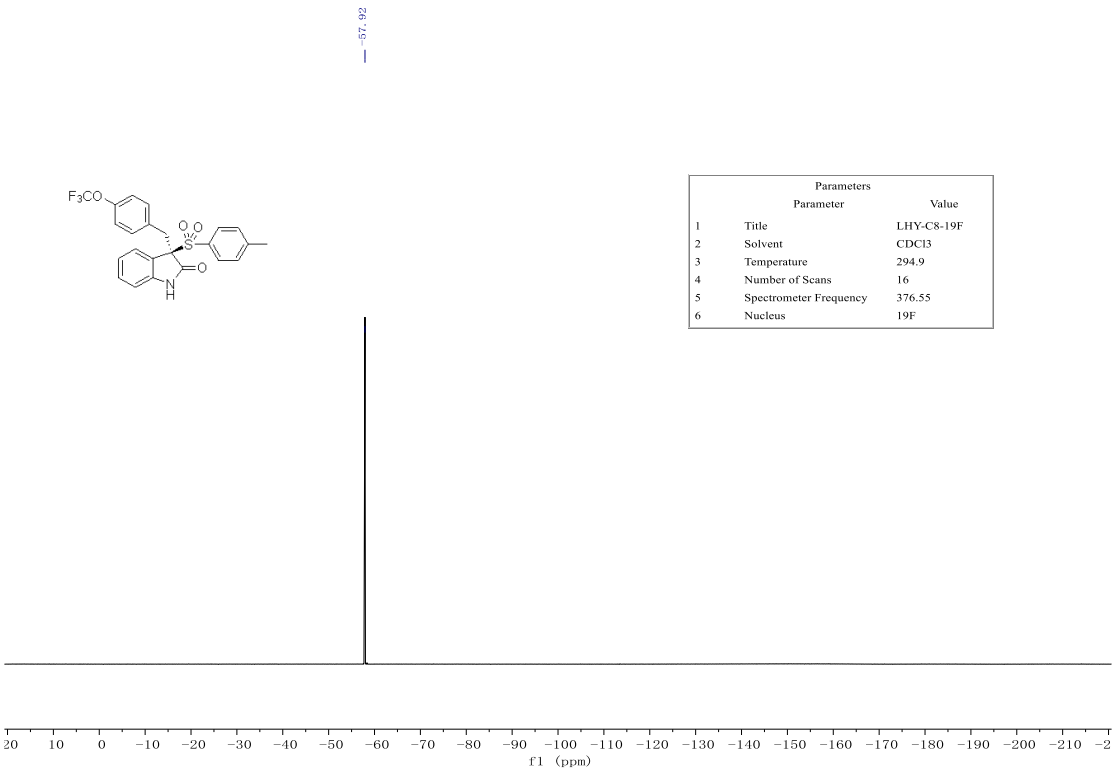
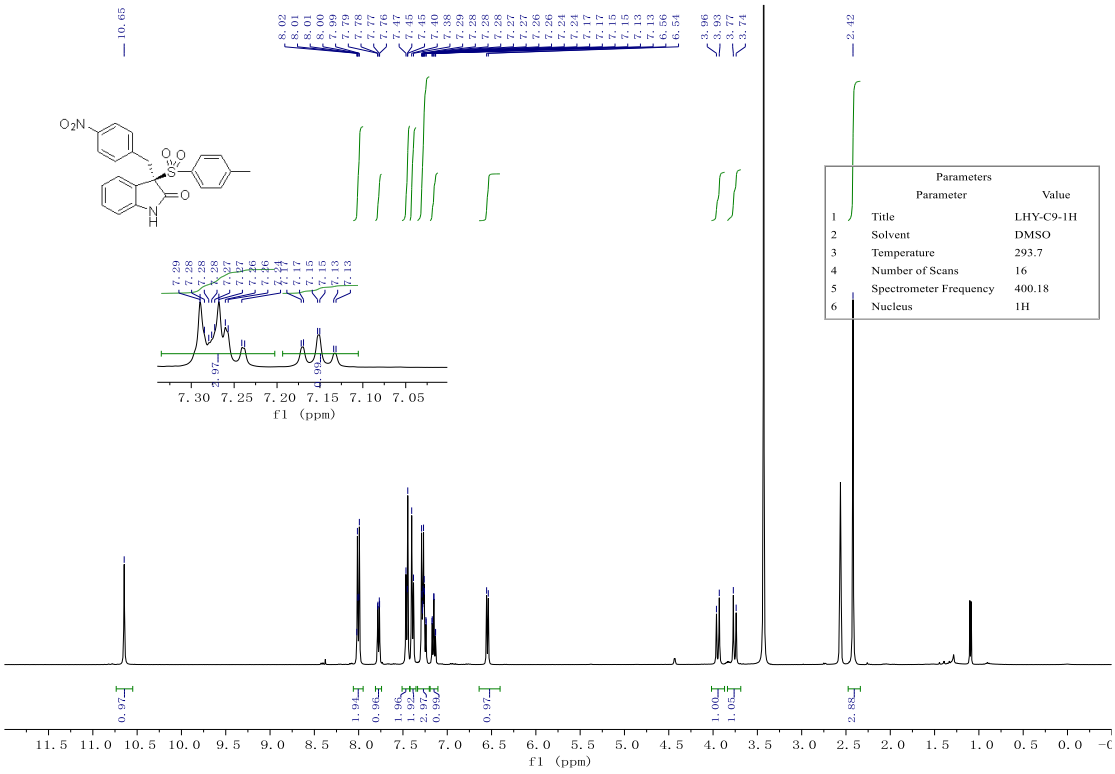
1.05
4.03
2.05
1.05
1.03
2.04
1.01
1.02
1.03
3.00
f1 (ppm)

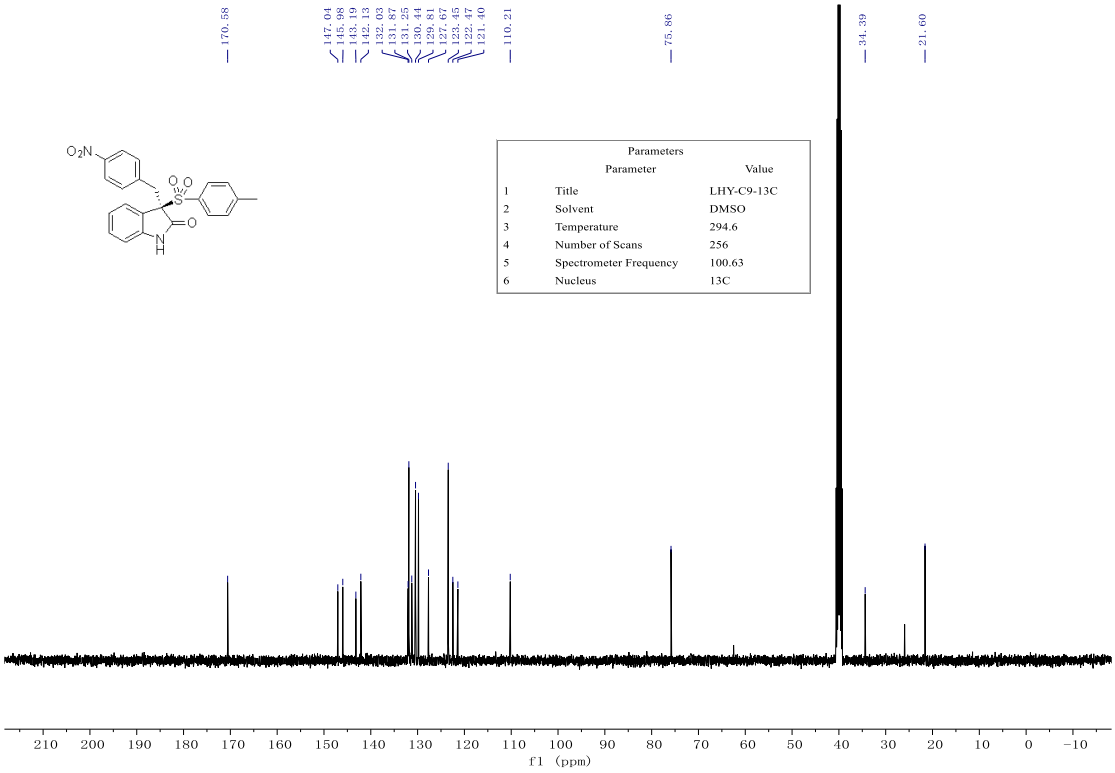

170.74
145.82
143.30
137.20
133.74
132.76
132.31
131.05
130.41
129.74
127.58
122.32
121.79
110.11
93.82
75.99
34.37
21.59
I
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C7-13C
2 Solvent DMSO
3 Temperature 302.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

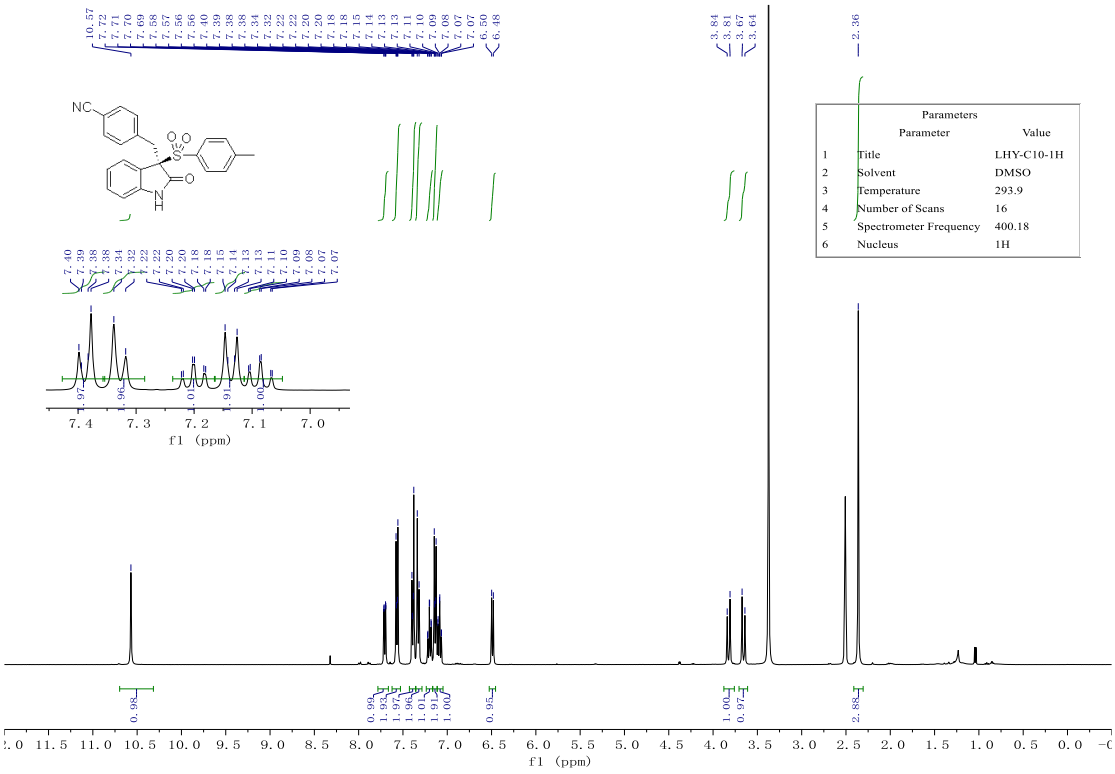

7.78
7.78
7.77
7.76
7.76
7.47
7.46
7.45
7.23
7.23
7.21
7.21
7.20
7.19
7.17
7.17
7.16
7.15
7.15
7.14
7.13
7.13
6.98
6.97
6.96
6.95
6.86
6.84
6.53
6.51
3.88
3.85
3.74
3.71
2.37
F3CO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C8-1H
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
1.01
2.91
4.00
7.20 7.15 7.10 7.05 7.00
f1 (ppm)
1.92
1.92
1.01
2.91
2.03
1.96
0.98
1.00
1.00
3.01
f1 (ppm)

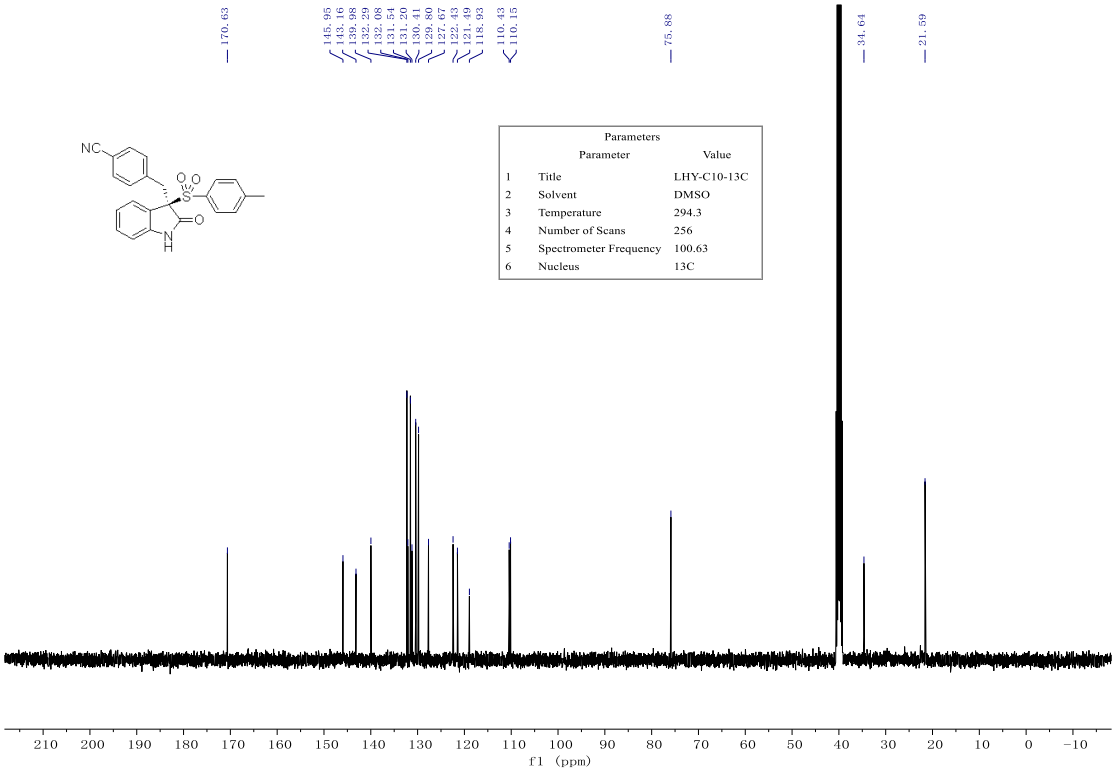

171.03
148.33
145.62
141.32
131.82
131.59
130.64
130.35
129.20
127.19
122.98
121.89
121.55
120.42
110.01
76.10
34.42
21.74
F3CO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C8-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

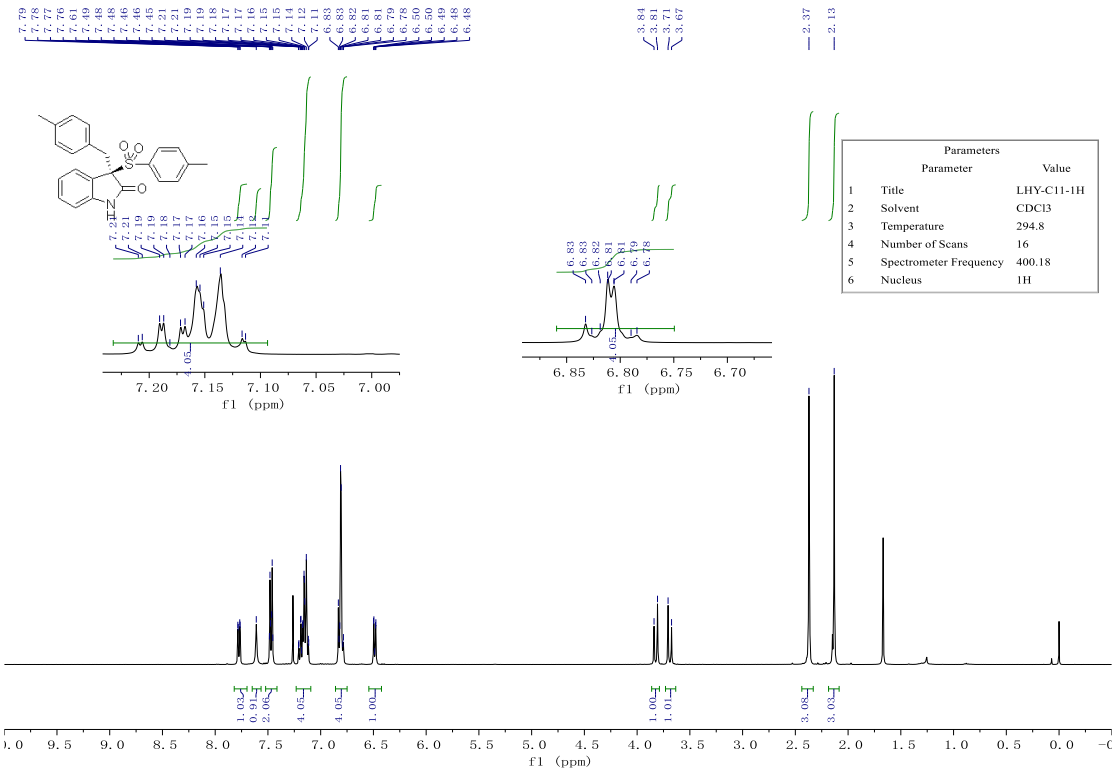

−57.92
F3CO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C8-19F
2 Solvent CDCl3
3 Temperature 294.9
4 Number of Scans 16
5 Spectrometer Frequency 376.55
6 Nucleus 19F
20 10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210 −2
f1 (ppm)

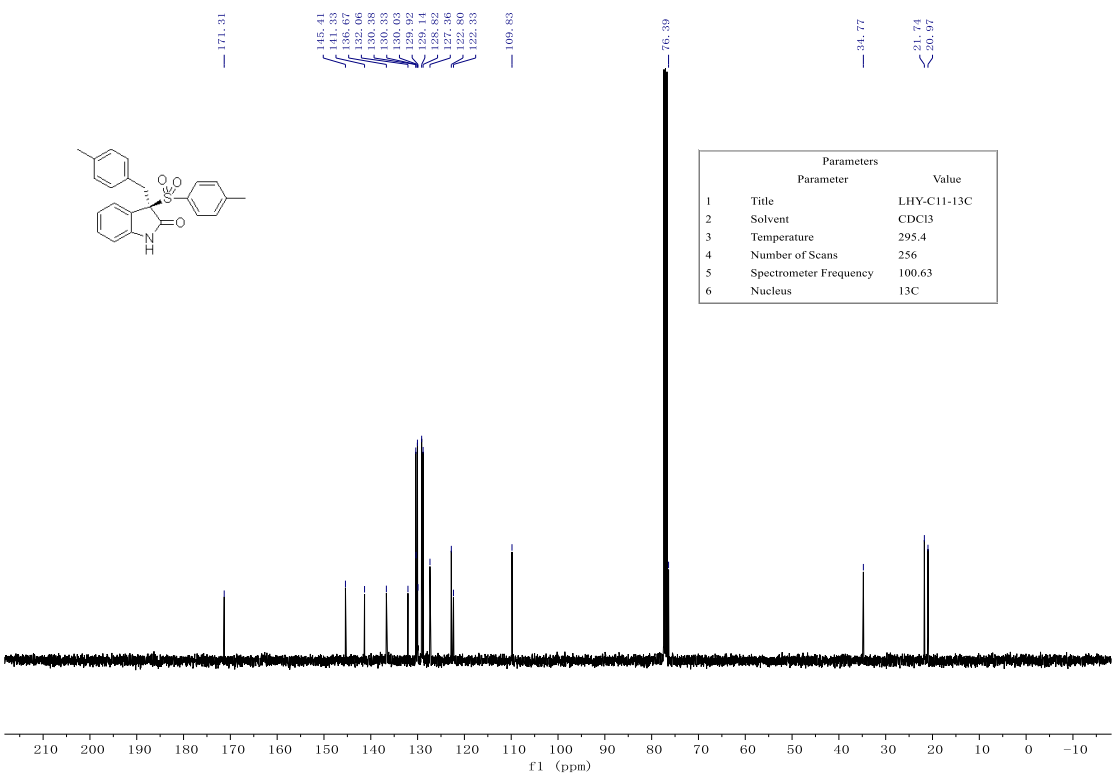

10.65
8.02
8.01
8.01
8.00
7.99
7.79
7.78
7.77
7.76
7.47
7.45
7.40
7.38
7.29
7.28
7.28
7.28
7.27
7.27
7.26
7.26
7.24
7.17
7.17
7.15
7.15
7.13
6.66
6.64
3.96
3.93
3.77
3.74
2.42
O2N
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C9-1H
2 Solvent DMSO
3 Temperature 293.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.30 7.25 7.20 7.15 7.10 7.05
f1 (ppm)
0.97
1.94
0.96
1.96
1.92
2.97
0.99
0.97
1.00
1.05
2.88
11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

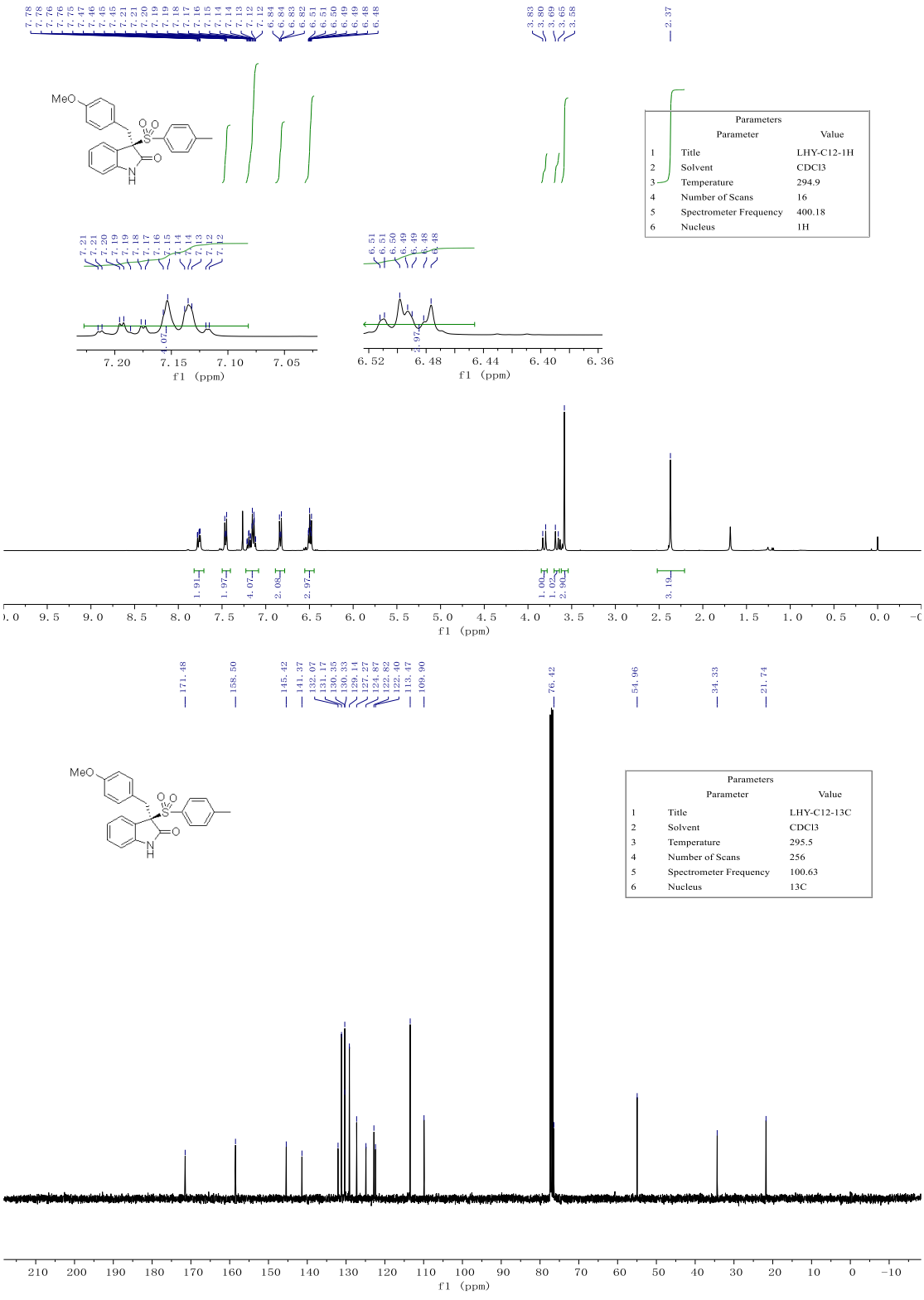

170.58
147.04
145.98
143.19
142.13
132.03
131.87
131.25
130.44
129.81
127.67
123.45
122.47
121.40
110.21
75.86
34.39
21.60
O2N
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C9-13C
2 Solvent DMSO
3 Temperature 294.6
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

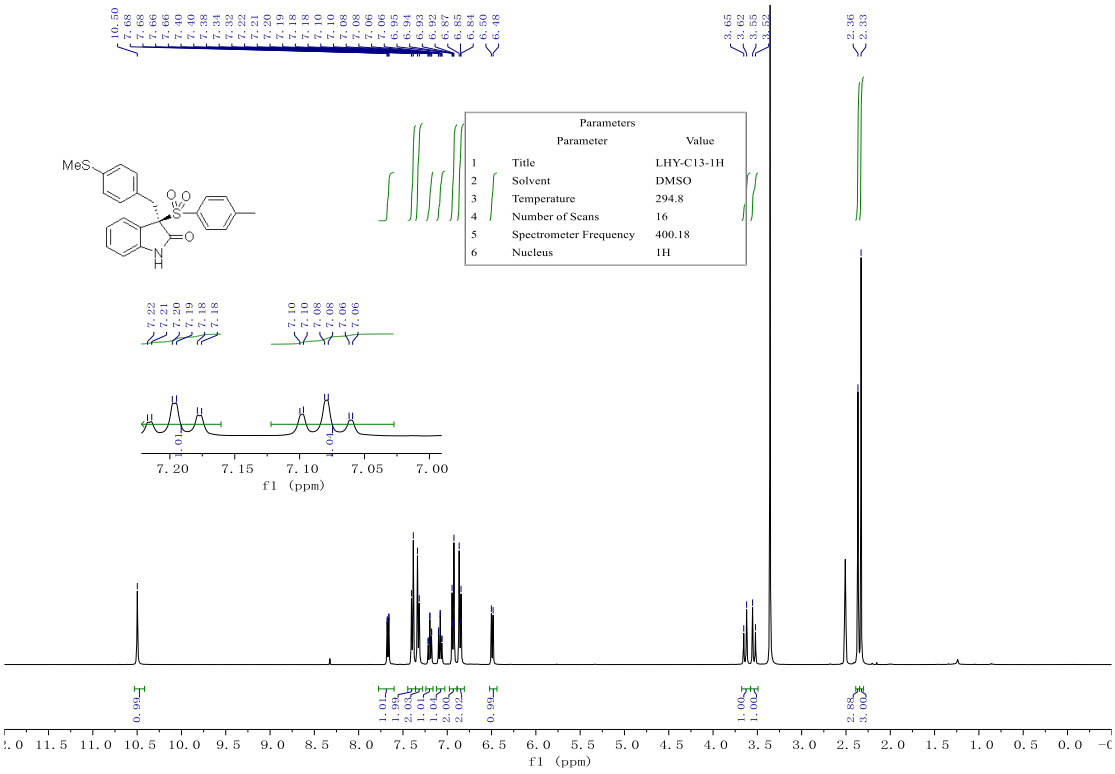

Parameters
Parameter Value
1 Title LHY-C10-1H
2 Solvent DMSO
3 Temperature 293.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

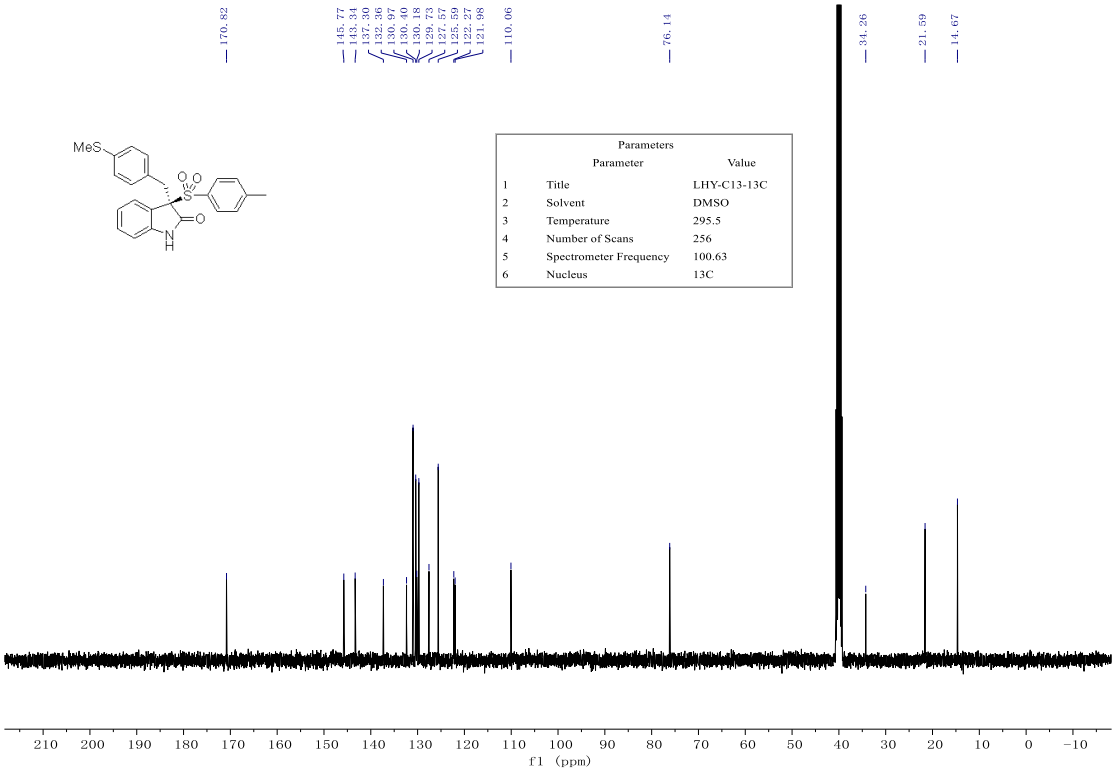

Parameters
Parameter Value
1 Title LHY-C10-13C
2 Solvent DMSO
3 Temperature 294.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

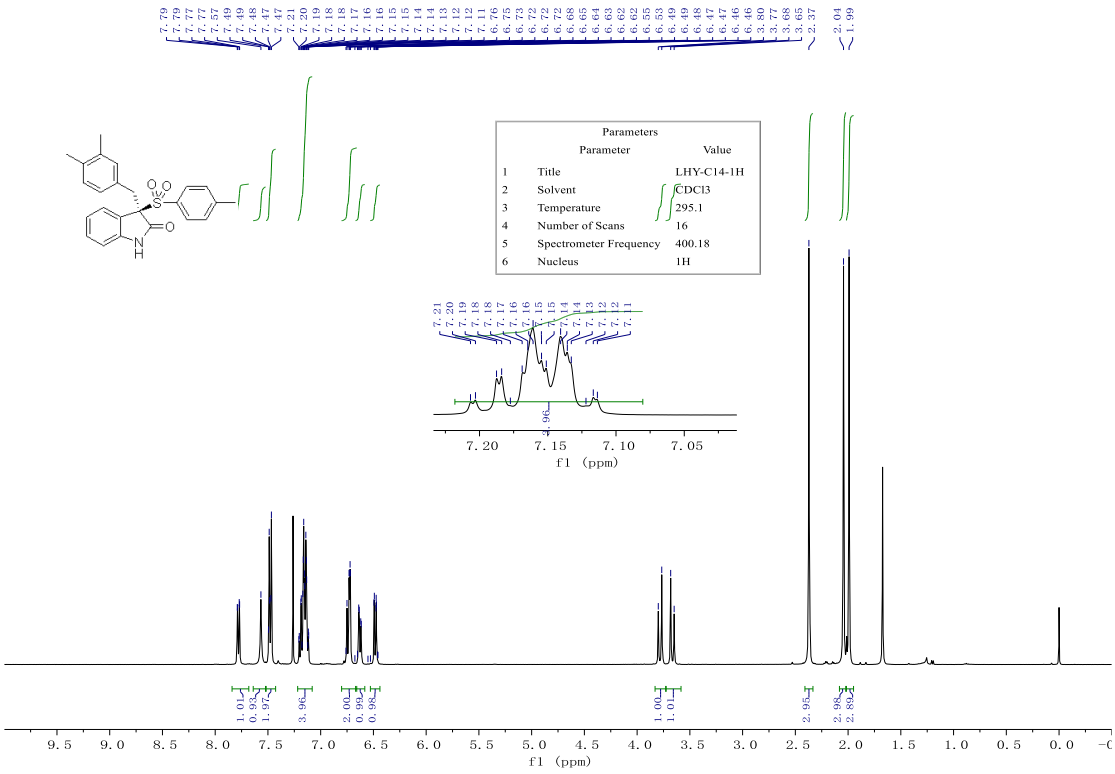

Parameters
Parameter Value
1 Title LHY-C11-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

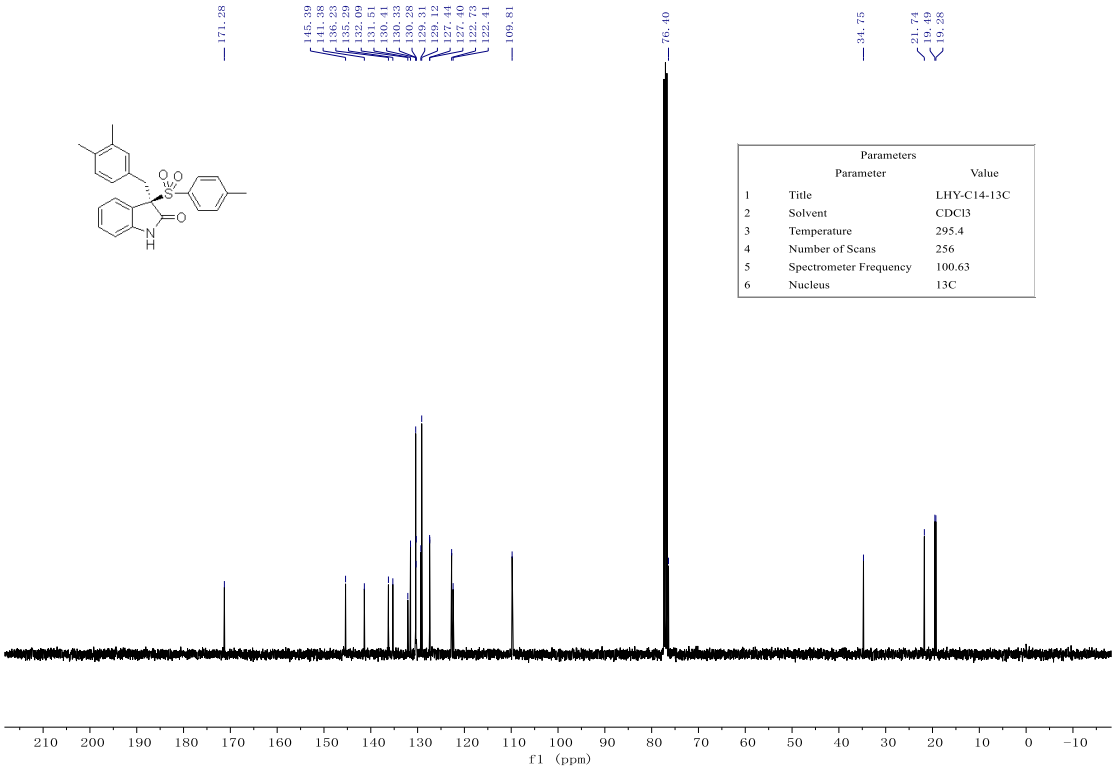

Parameters
Parameter Value
1 Title LHY-C11-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

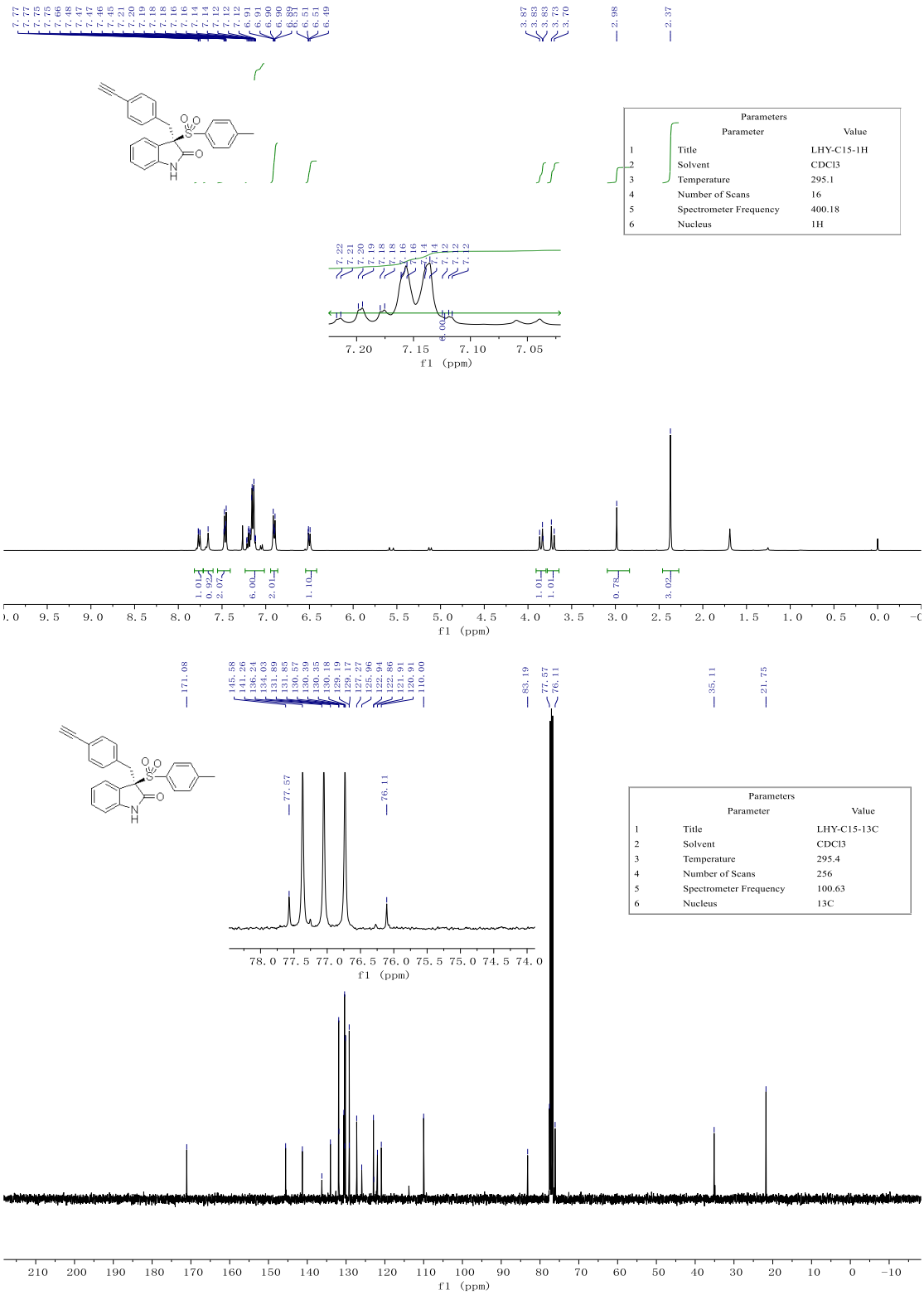

MeO
Parameters
Parameter Value
1 Title LHY-C12-1H
2 Solvent CDCl3
3 Temperature 294.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
MeO
Parameters
Parameter Value
1 Title LHY-C12-13C
2 Solvent CDCl3
3 Temperature 295.5
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

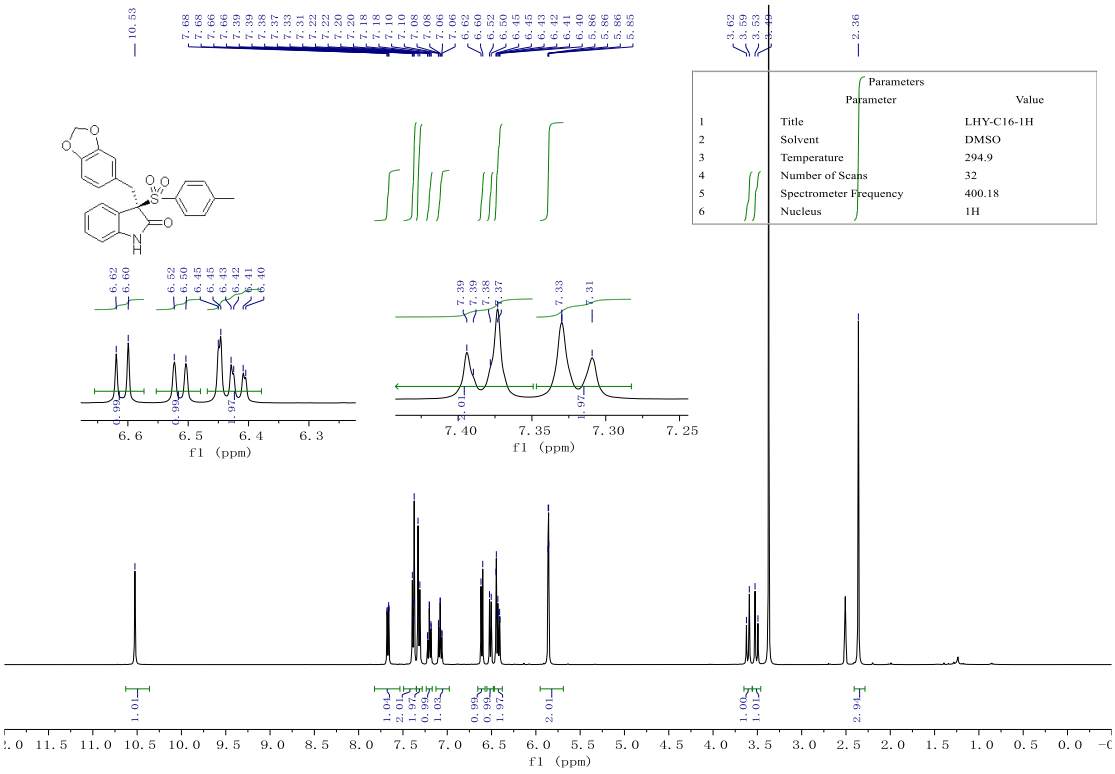

10.50
7.68
7.66
7.40
7.38
7.34
7.32
7.22
7.21
7.20
7.19
7.18
7.10
7.08
7.06
6.95
6.94
6.93
6.92
6.87
6.85
6.84
6.50
6.48
3.65
3.62
3.55
3.52
2.36
2.33
MeS
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C13-1H
2 Solvent DMSO
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.22
7.21
7.20
7.19
7.18
7.18
7.10
7.10
7.08
7.08
7.06
7.06
1.01
1.04
7.20
7.15
7.10
7.05
7.00
f1 (ppm)
0.99
1.01
1.99
2.01
1.04
2.00
2.02
0.99
1.00
1.00
2.88
3.00
f1 (ppm)

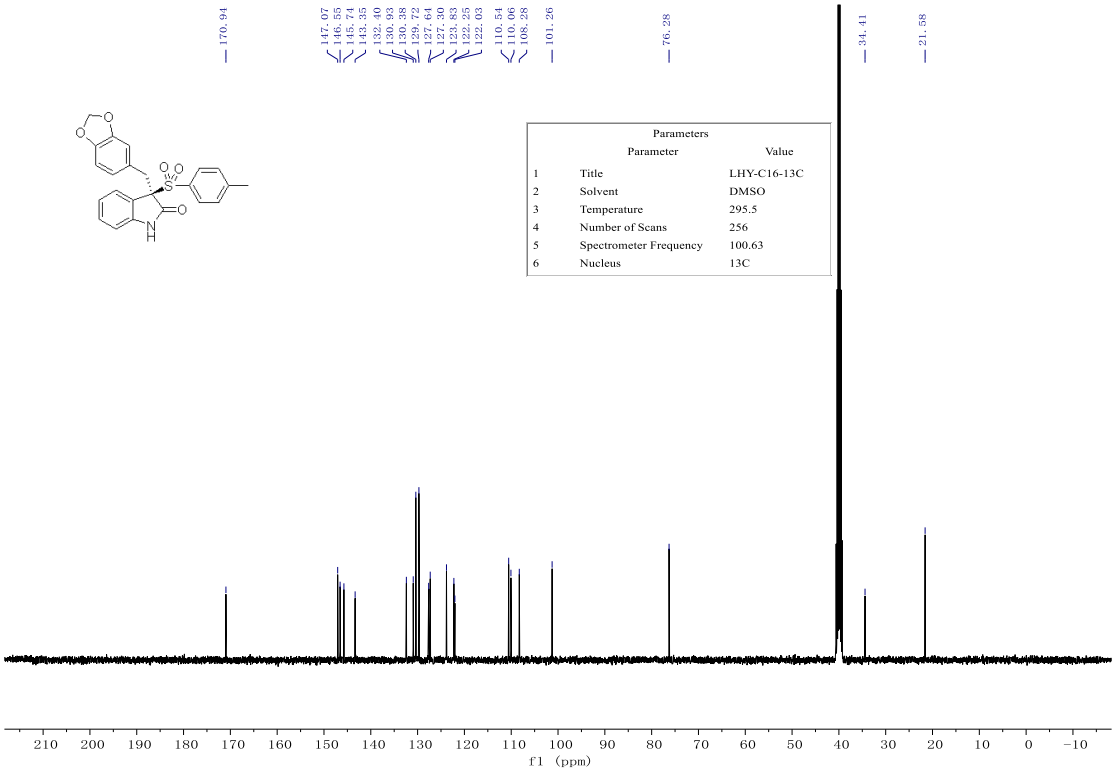

170.82
145.77
143.34
137.90
132.36
130.97
130.40
130.18
129.73
127.57
125.59
122.27
121.98
110.06
76.14
34.26
21.59
14.67
MeS
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C13-13C
2 Solvent DMSO
3 Temperature 295.5
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

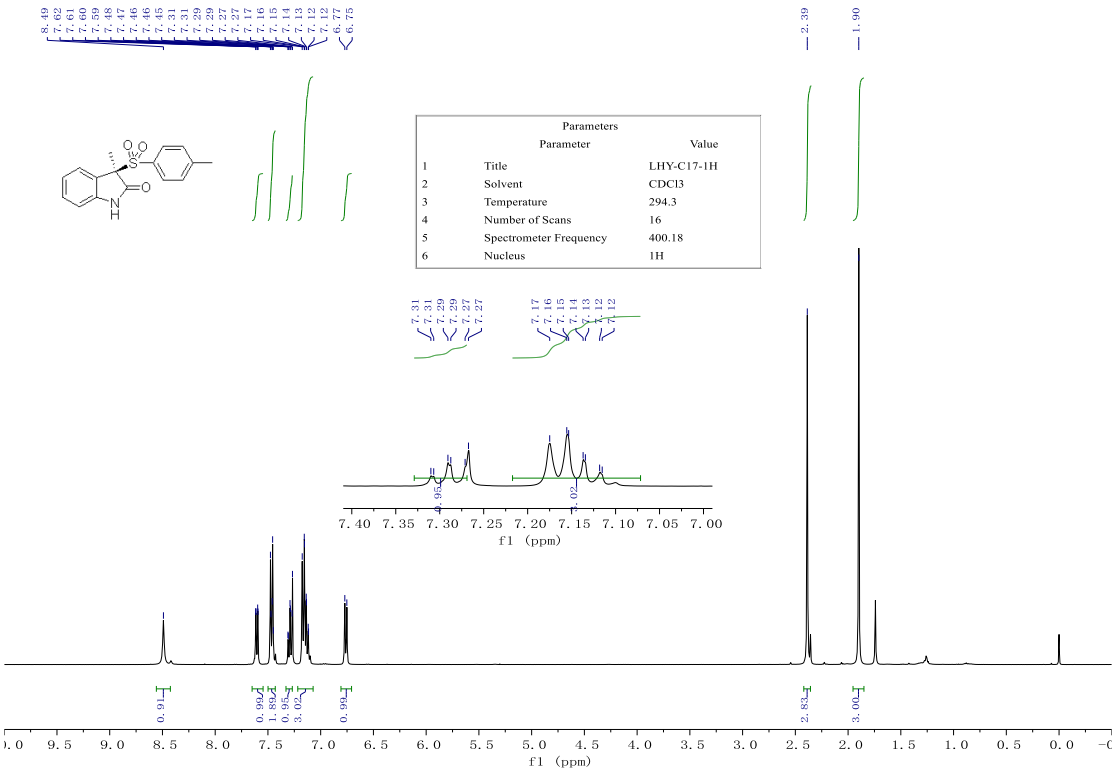

Parameters
Parameter Value
1 Title LHY-C14-1H
2 Solvent CDCl3
3 Temperature 295.1
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

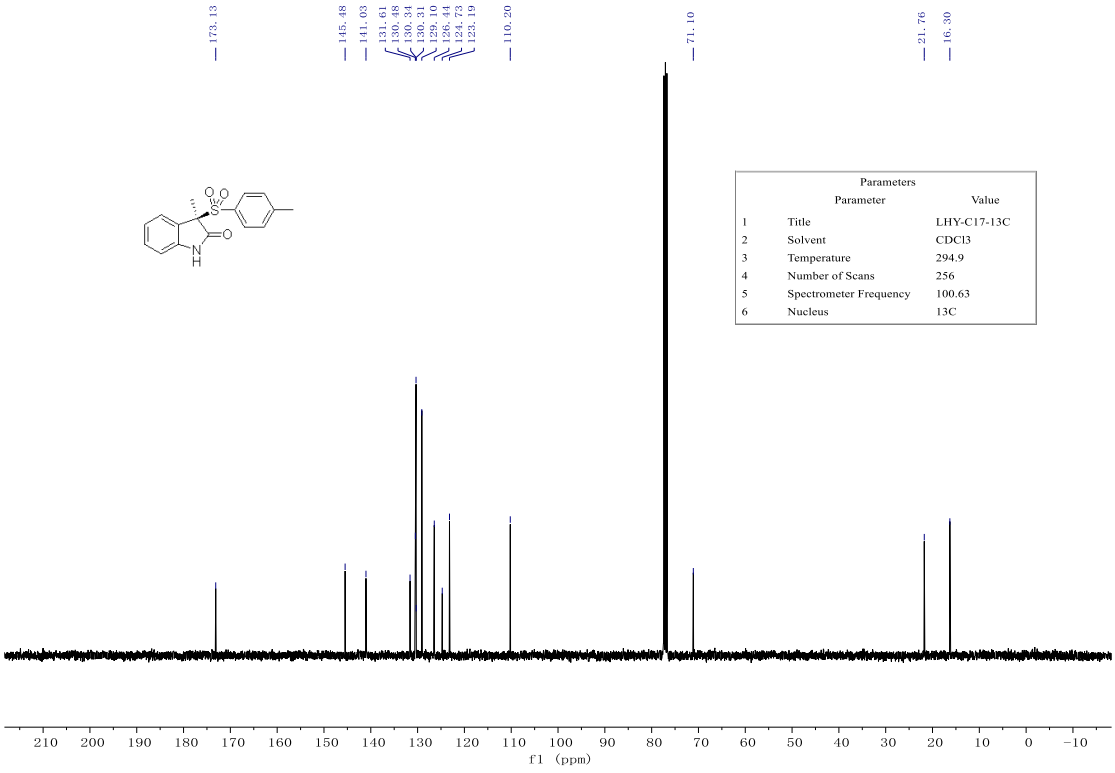

Parameters
Parameter Value
1 Title LHY-C14-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

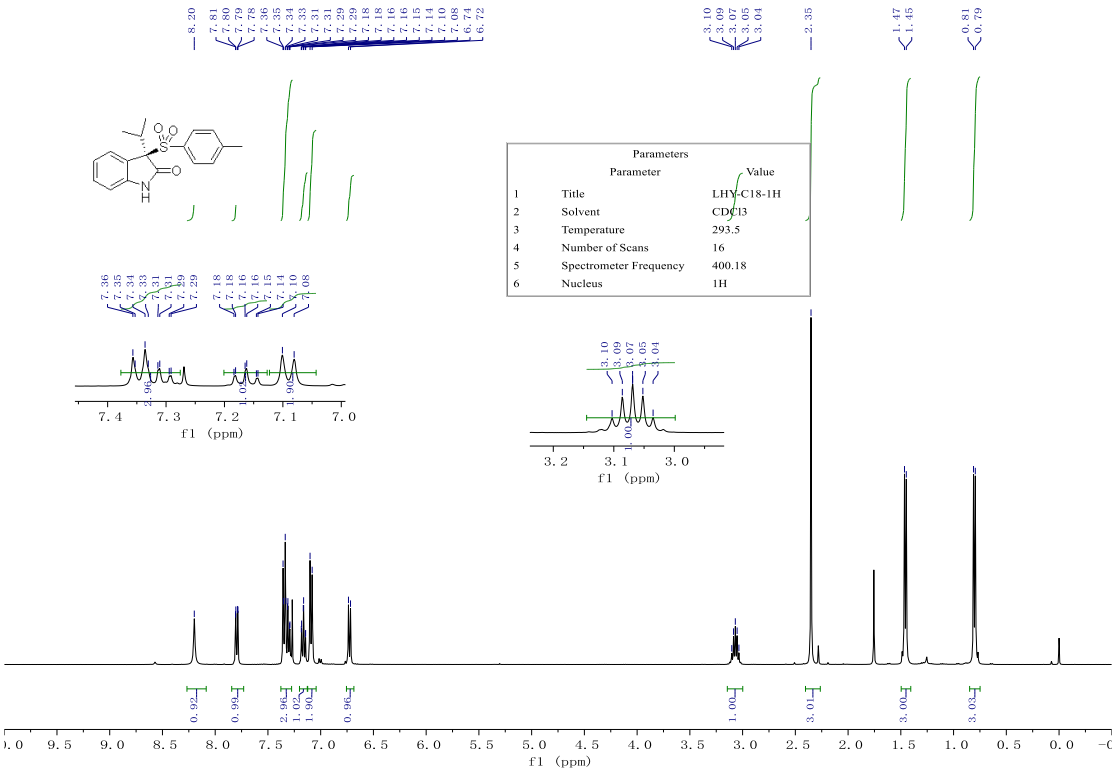

Parameters
Parameter Value
1 Title LHY-C15-1H
2 Solvent CDCl3
3 Temperature 295.1
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
Parameters
Parameter Value
1 Title LHY-C15-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

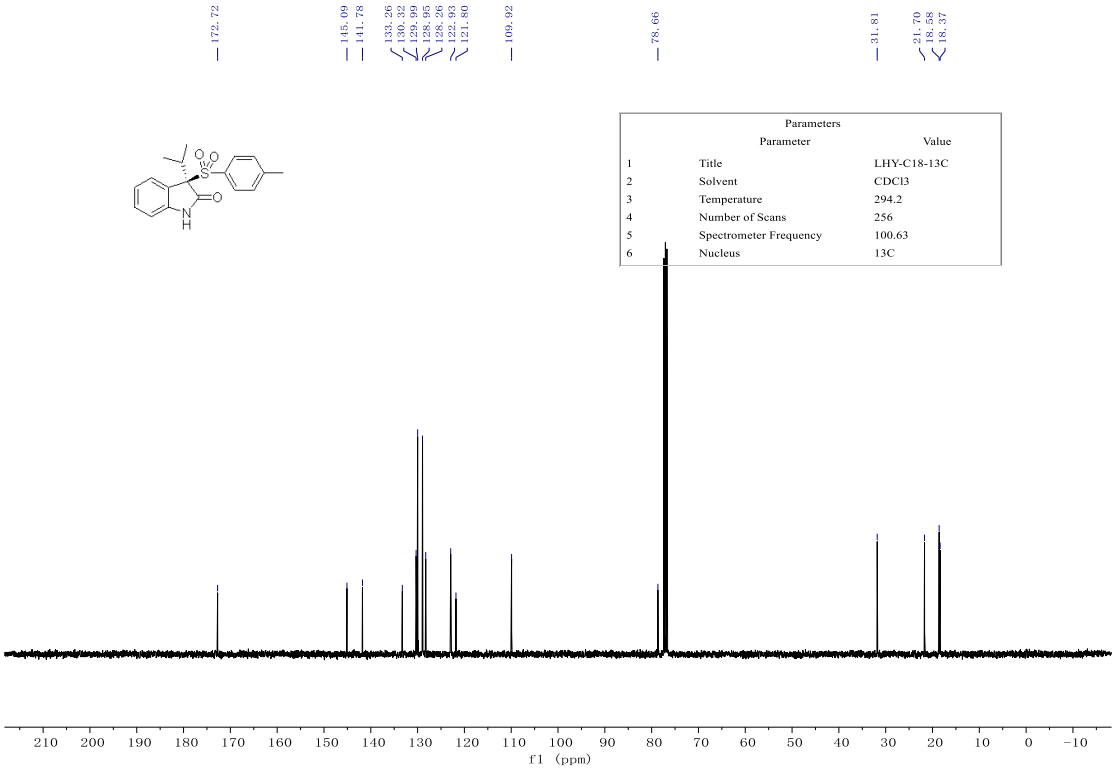

Parameters
Parameter Value
1 Title LHY-C16-1H
2 Solvent DMSO
3 Temperature 294.9
4 Number of Scans 32
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

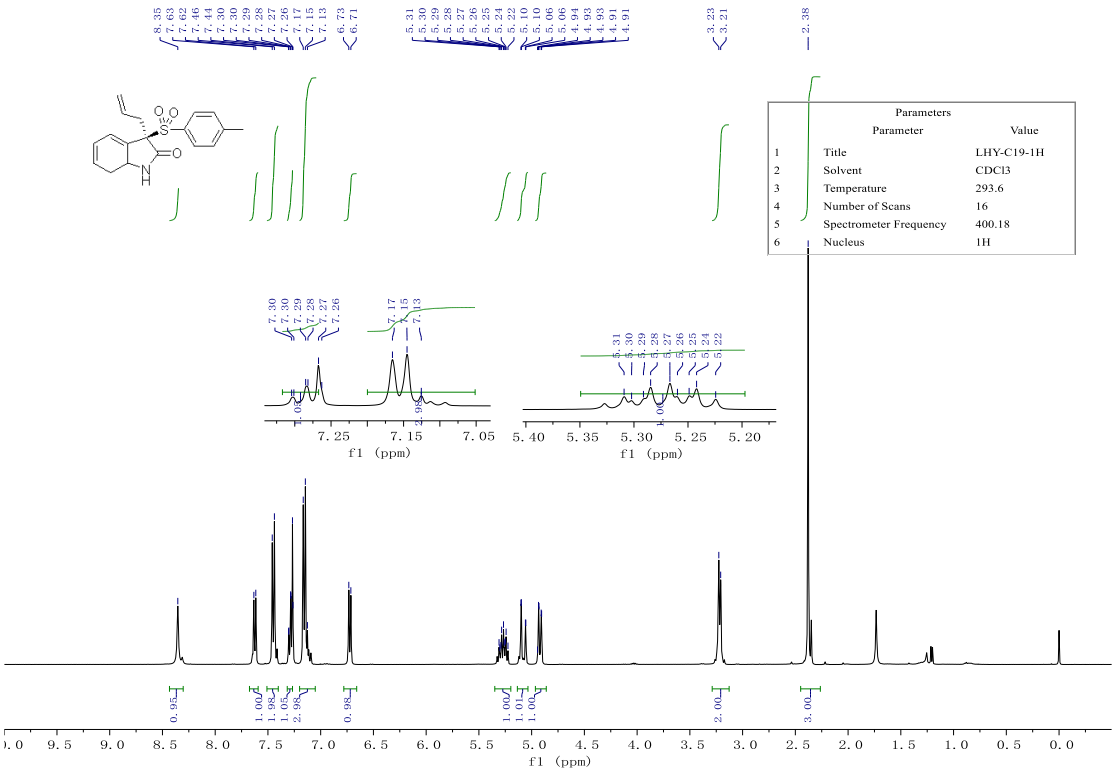

Parameters
Parameter Value
1 Title LHY-C16-13C
2 Solvent DMSO
3 Temperature 295.5
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

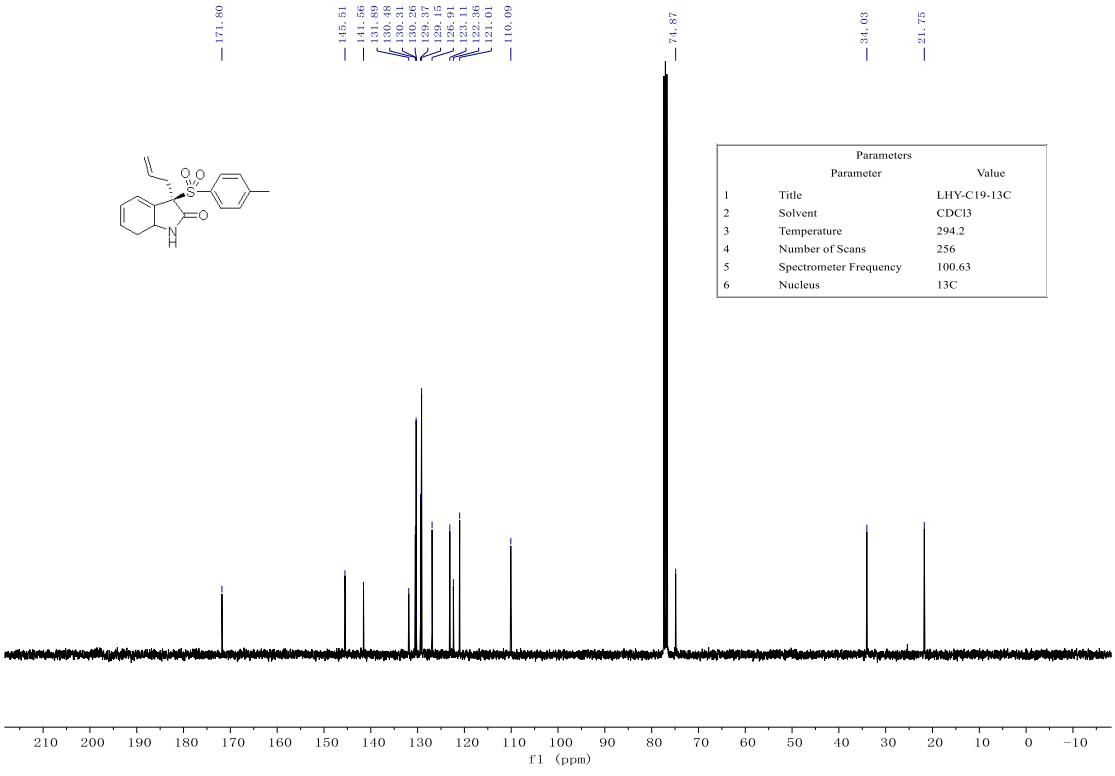

Parameters
Parameter Value
1 Title LHY-C17-1H
2 Solvent CDCl3
3 Temperature 294.3
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

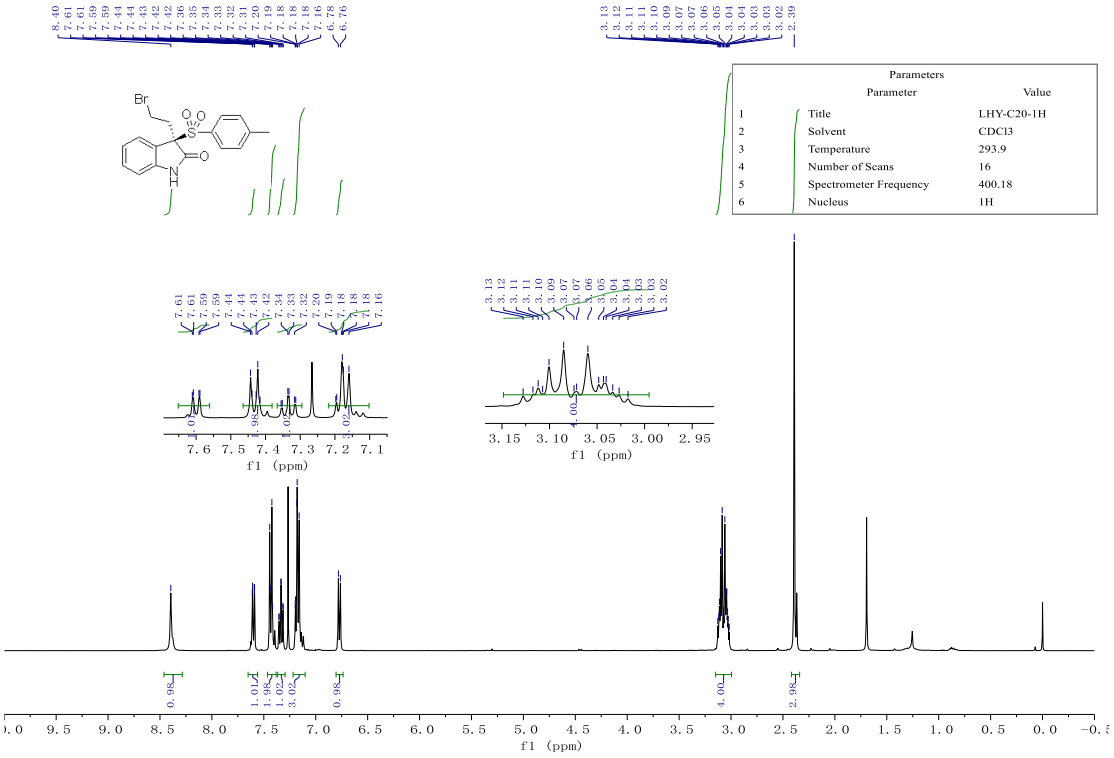

Parameters
Parameter Value
1 Title LHY-C17-13C
2 Solvent CDCl3
3 Temperature 294.9
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

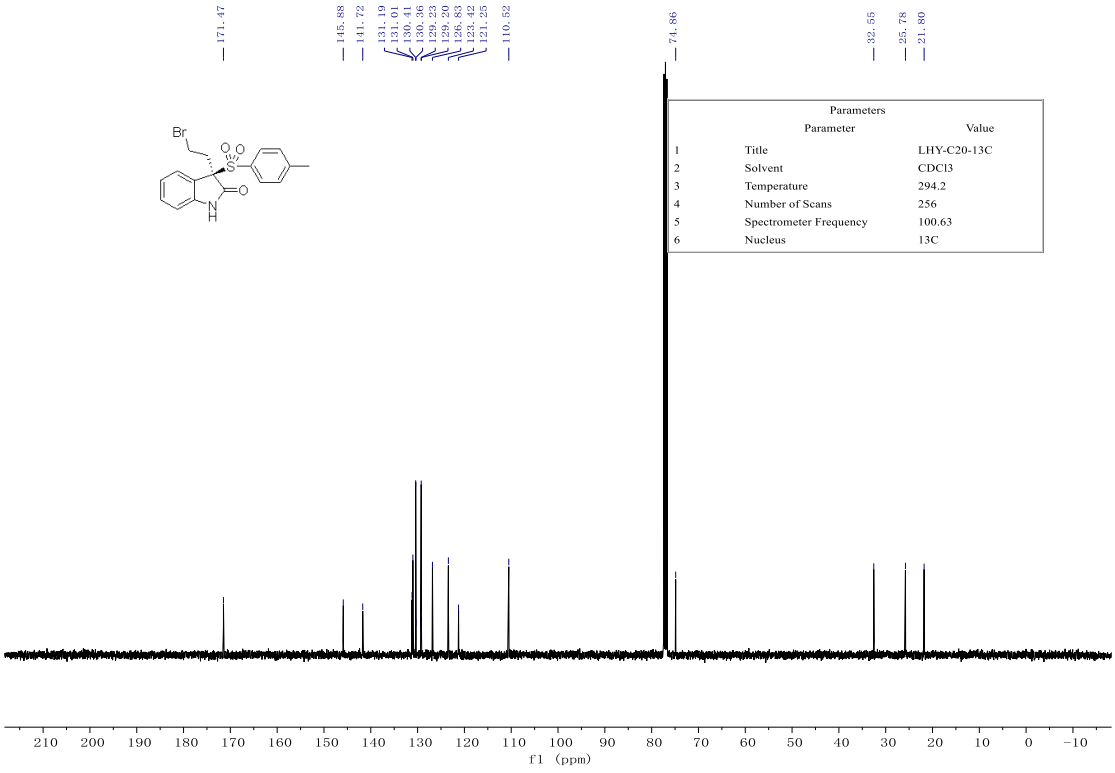

8.20 7.81 7.80 7.79 7.78 7.36 7.35 7.34 7.33 7.31 7.31 7.29 7.29 7.18 7.18 7.16 7.16 7.15 7.14 7.10 7.08 6.74 6.72
3.10 3.09 3.07 3.05 3.04
2.35
1.47 1.45
0.81 0.79
Parameters
Parameter Value
1 Title LHY-C18-1H
2 Solvent CDCl3
3 Temperature 293.5
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
0.92 0.99 2.96 1.02 1.90 0.96 1.00 3.01 3.00 3.03
f1 (ppm)

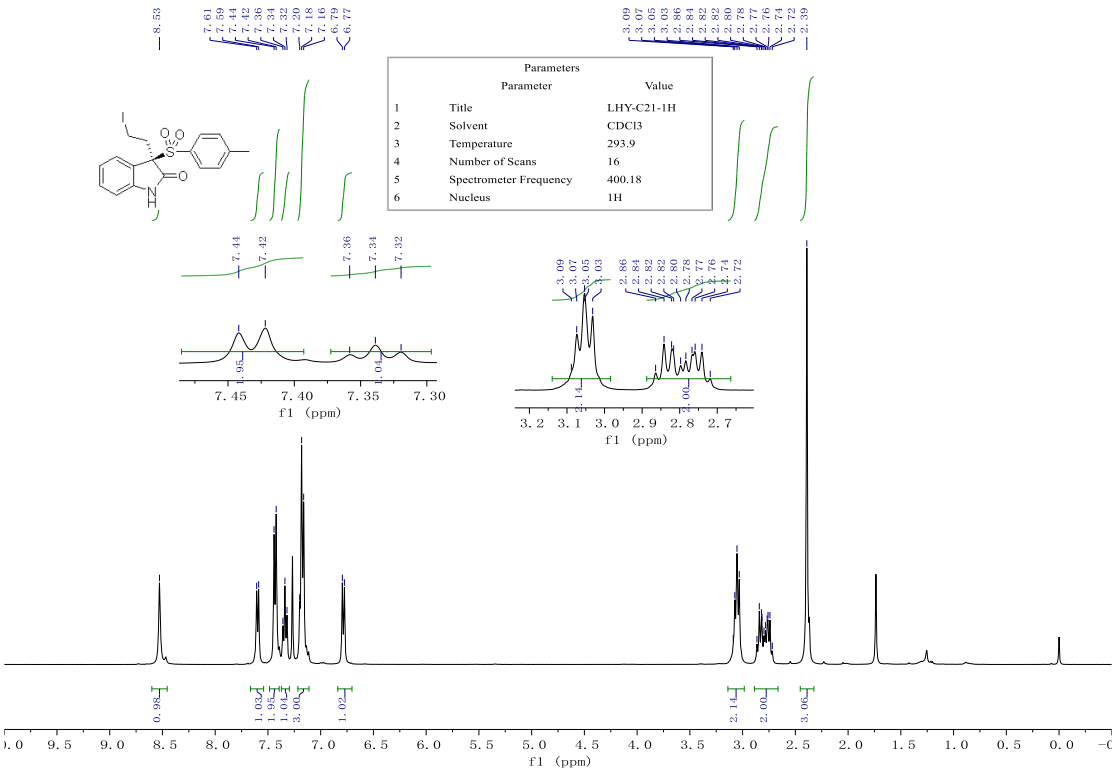

172.72 145.09 141.78 133.26 130.32 129.99 128.95 128.26 122.93 121.80 109.92 78.66 31.81 21.70 18.58 18.37
Parameters
Parameter Value
1 Title LHY-C18-13C
2 Solvent CDCl3
3 Temperature 294.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

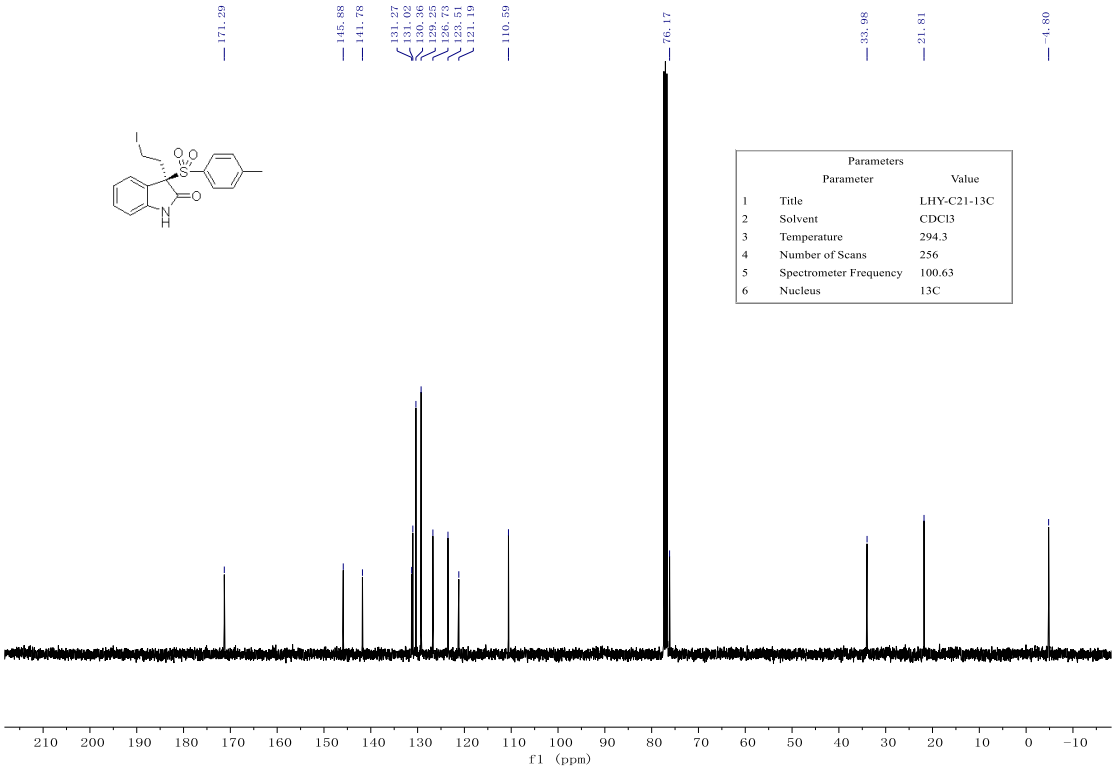

Parameters
Parameter Value
1 Title LHY-C19-1H
2 Solvent CDCl3
3 Temperature 293.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

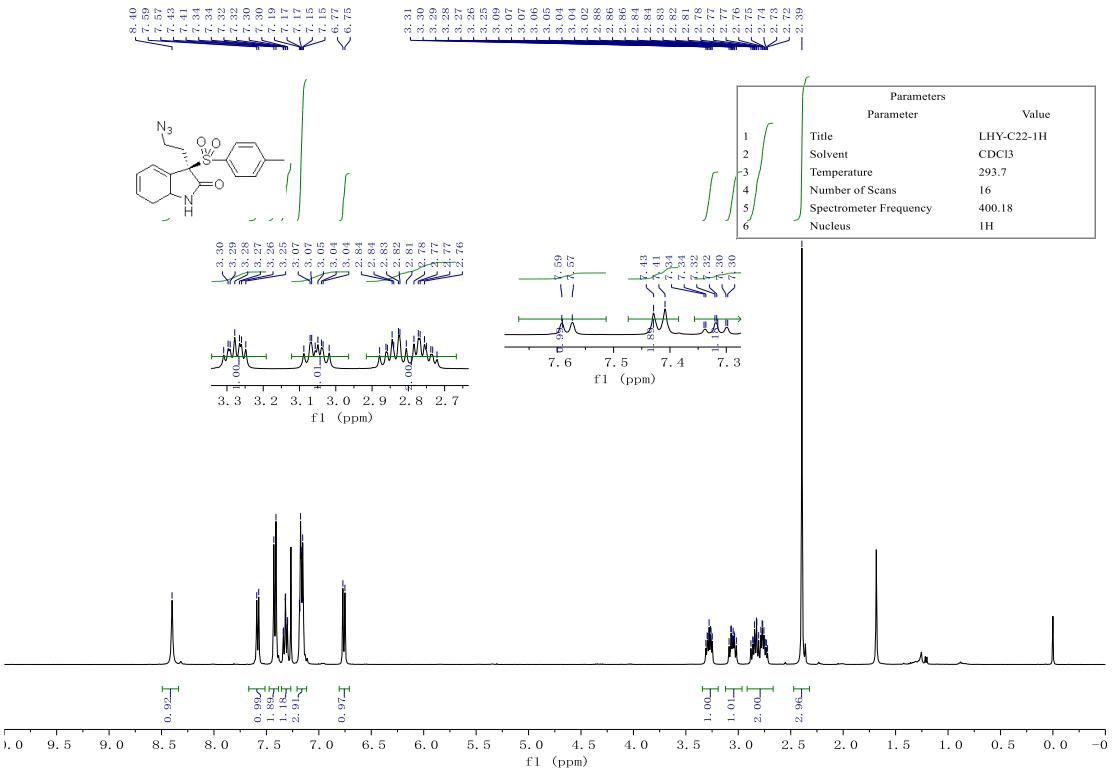

Parameters
Parameter Value
1 Title LHY-C19-13C
2 Solvent CDCl3
3 Temperature 294.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

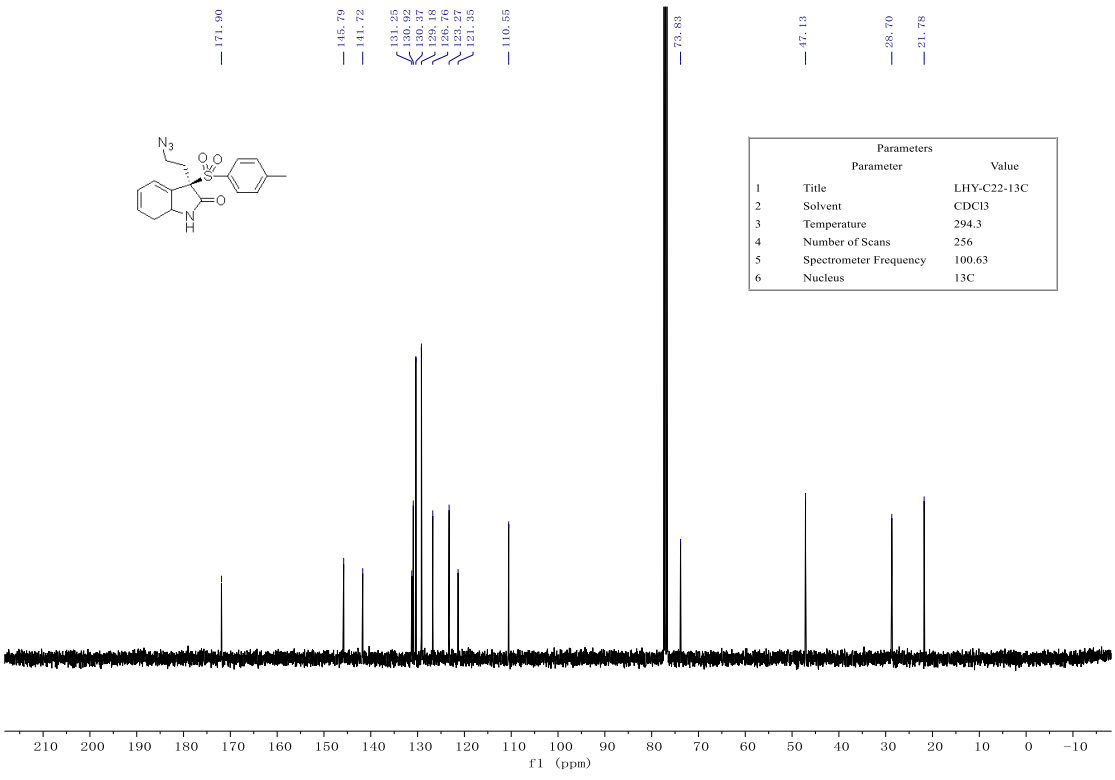

Parameters
Parameter Value
1 Title LHY-C20-1H
2 Solvent CDCl3
3 Temperature 293.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

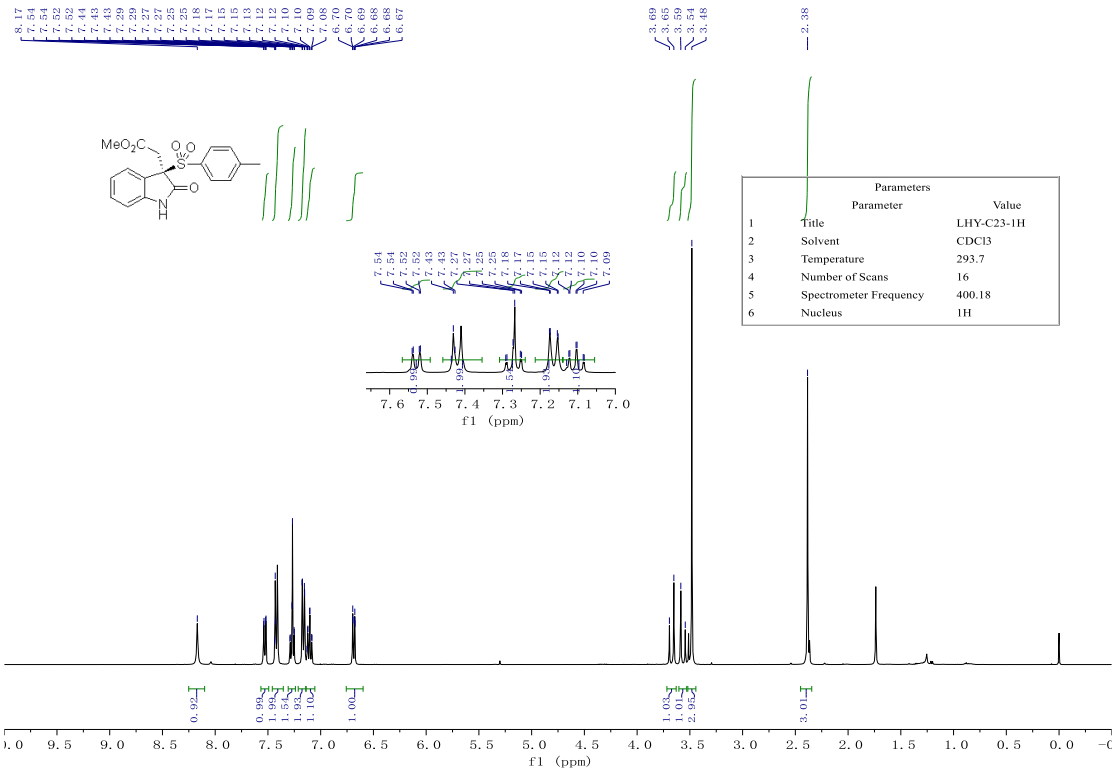

Parameters
Parameter Value
1 Title LHY-C20-13C
2 Solvent CDCl3
3 Temperature 294.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

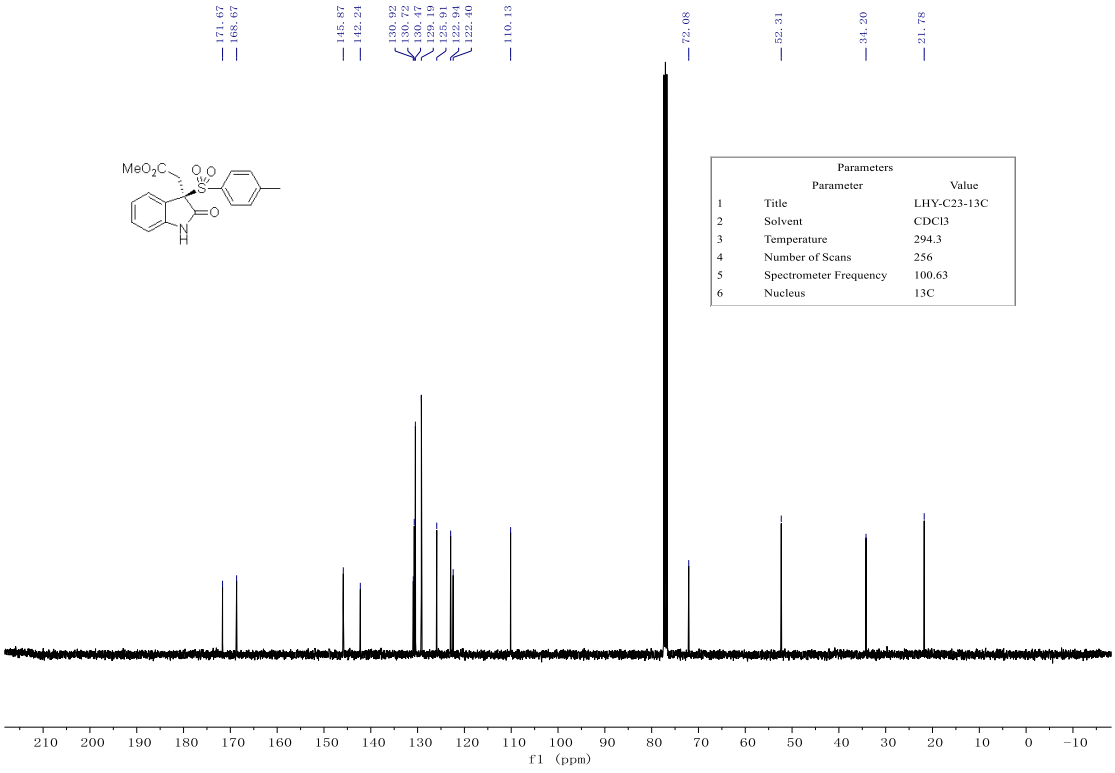

8.53
7.61
7.59
7.44
7.42
7.36
7.34
7.32
7.20
7.18
7.16
6.79
6.77
3.09
3.07
3.05
3.03
2.86
2.84
2.82
2.80
2.78
2.77
2.76
2.74
2.72
2.39
Parameters
Parameter Value
1 Title LHY-C21-1H
2 Solvent CDCl3
3 Temperature 293.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
0.98
1.03
1.95
1.04
3.00
1.02
2.14
2.00
3.06

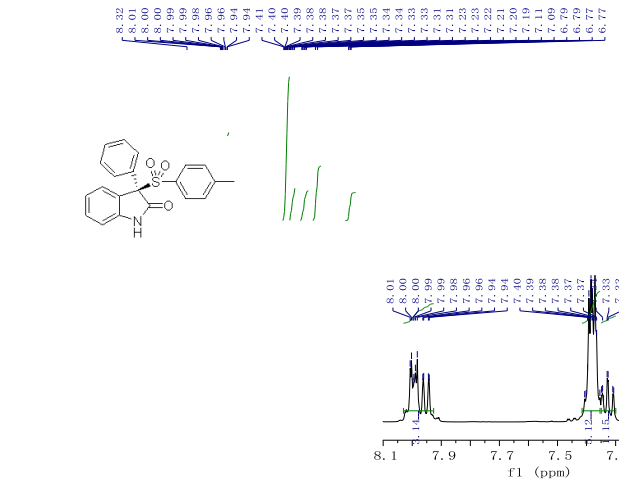

171.29
145.88
141.78
131.97
131.02
130.36
129.25
126.73
123.51
121.19
110.59
76.17
33.98
21.81
-4.80
Parameters
Parameter Value
1 Title LHY-C21-13C
2 Solvent CDCl3
3 Temperature 294.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)


Parameters
Parameter Value
1 Title LHY-C22-1H
2 Solvent CDCl3
3 Temperature 293.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

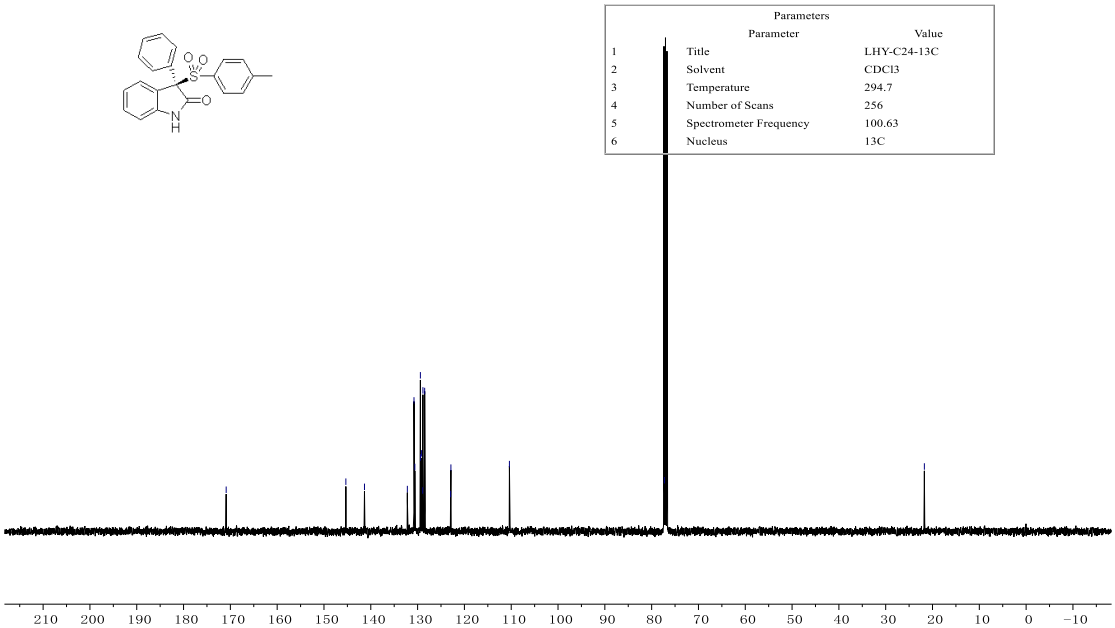

Parameters
Parameter Value
1 Title LHY-C22-13C
2 Solvent CDCl3
3 Temperature 294.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)


8.17 7.54 7.54 7.54 7.52 7.52 7.44 7.43 7.43 7.29 7.29 7.27 7.27 7.25 7.25 7.18 7.17 7.15 7.15 7.13 7.12 7.12 7.10 7.10 7.09 7.09 6.70 6.69 6.68 6.68 6.67
3.69 3.65 3.59 3.54 3.48
2.38
MeO2C
Parameters
Parameter Value
1 Title LHY-C23-1H
2 Solvent CDCl3
3 Temperature 293.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
0.92 0.99 1.99 1.54 1.93 1.10 1.00 1.03 1.01 2.95 3.01
f1 (ppm)

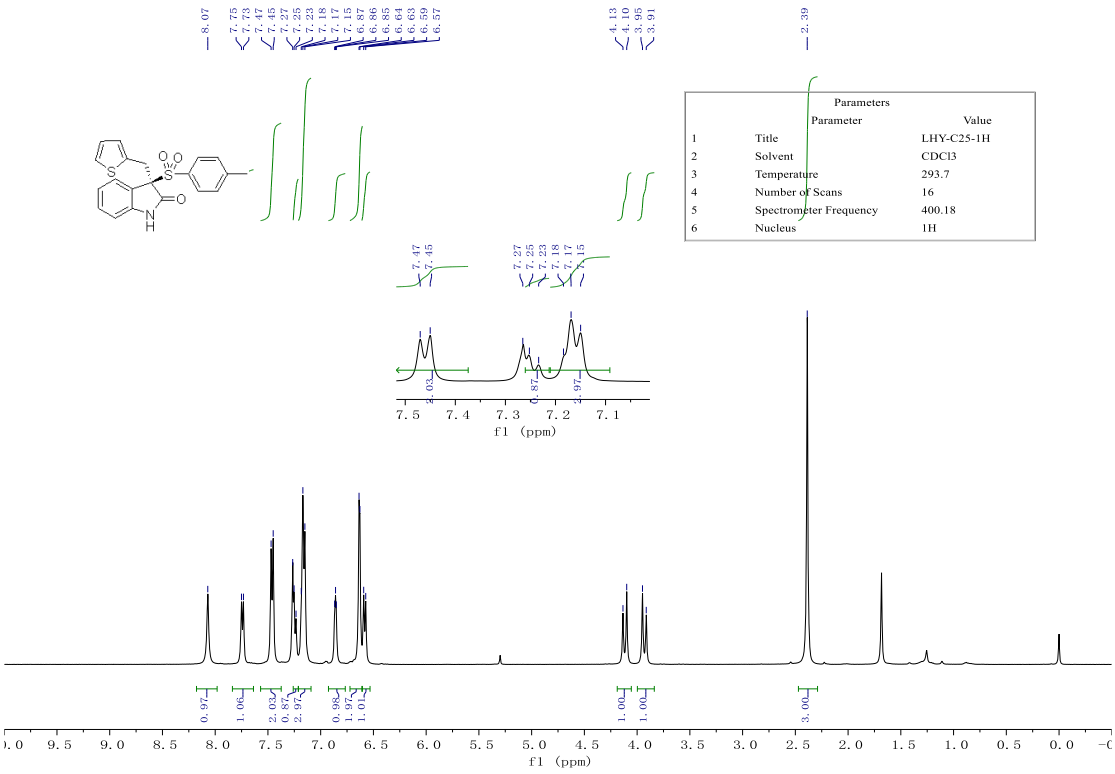

171.67 168.67 145.87 142.24 130.92 130.72 130.47 129.19 125.91 122.94 122.40 110.13 72.08 52.31 34.20 21.78
MeO2C
Parameters
Parameter Value
1 Title LHY-C23-13C
2 Solvent CDCl3
3 Temperature 294.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

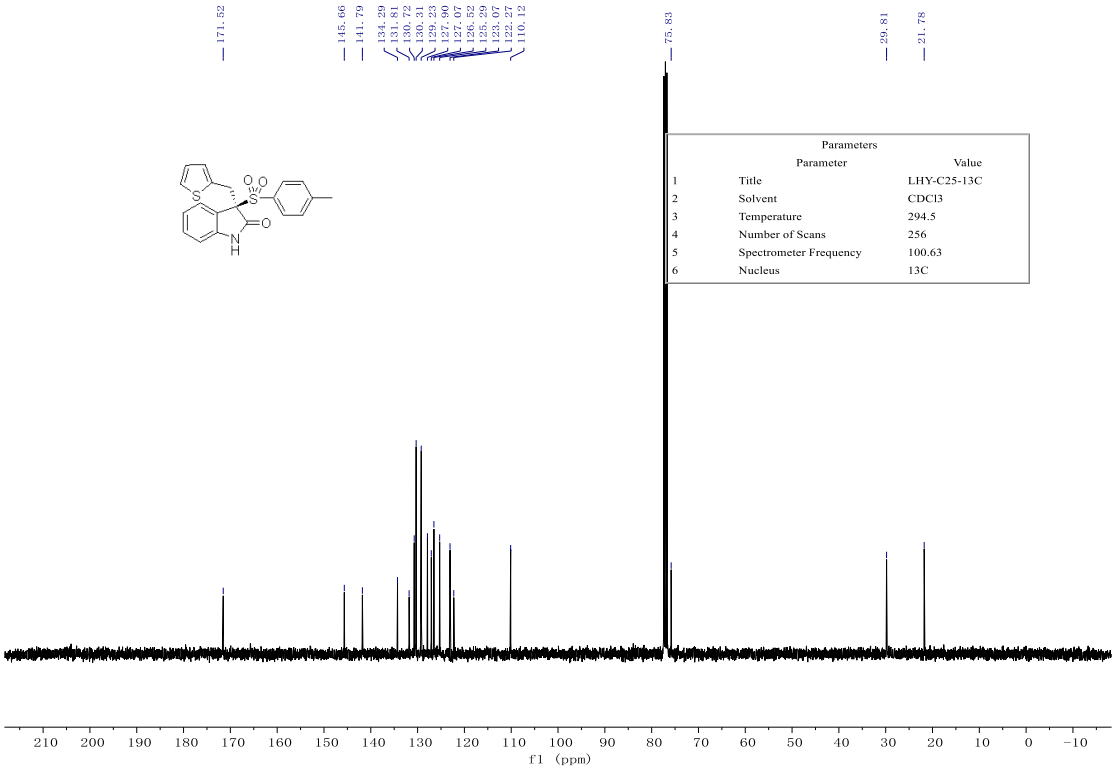


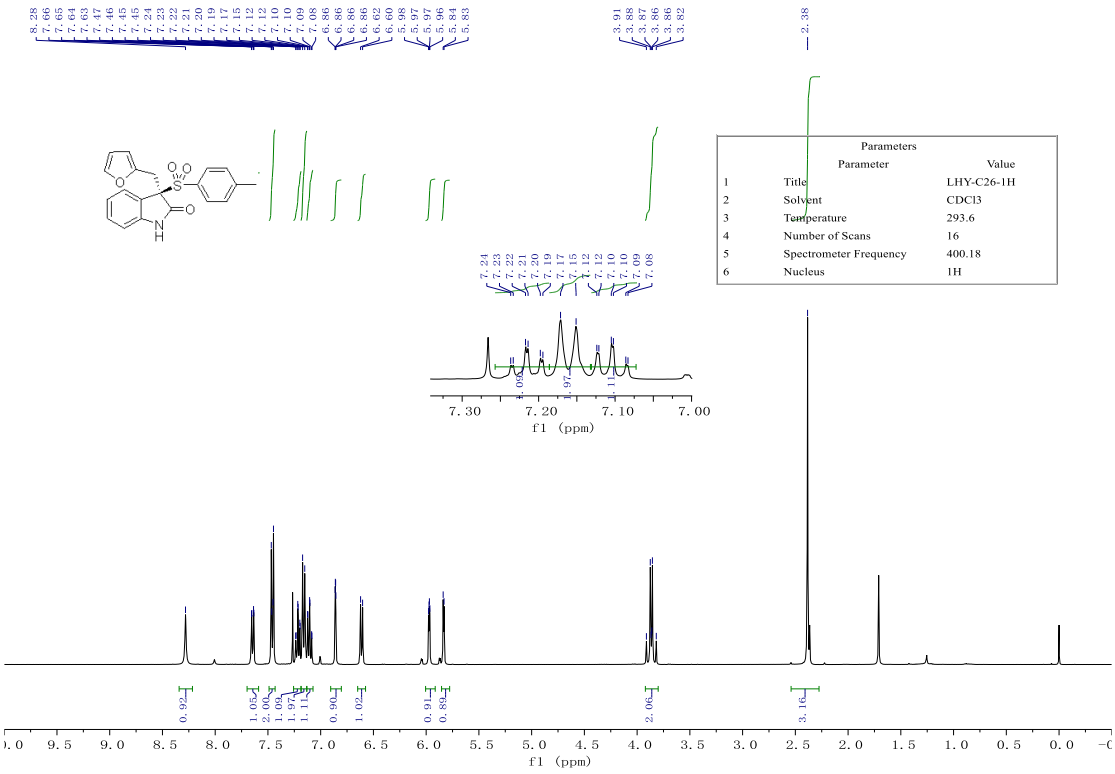
Parameters		
	Parameter	Value
1	Title	LHY-C24-1H
2	Solvent	CDCl3
3	Temperature	294.1
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

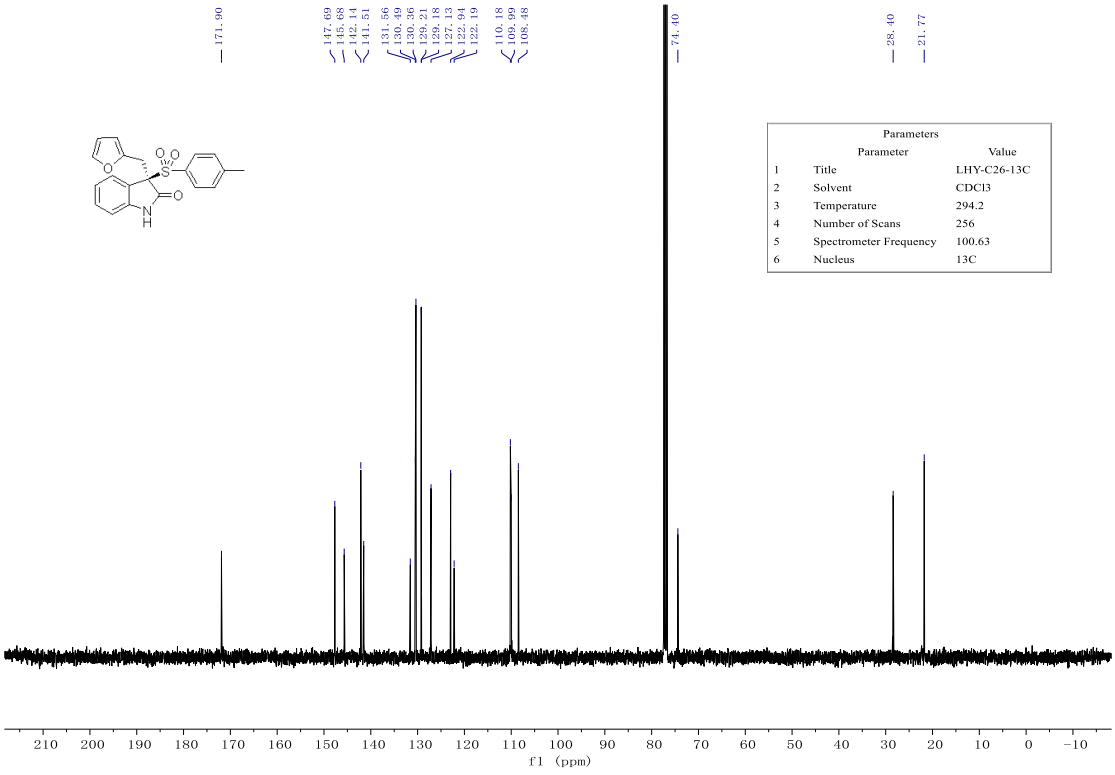


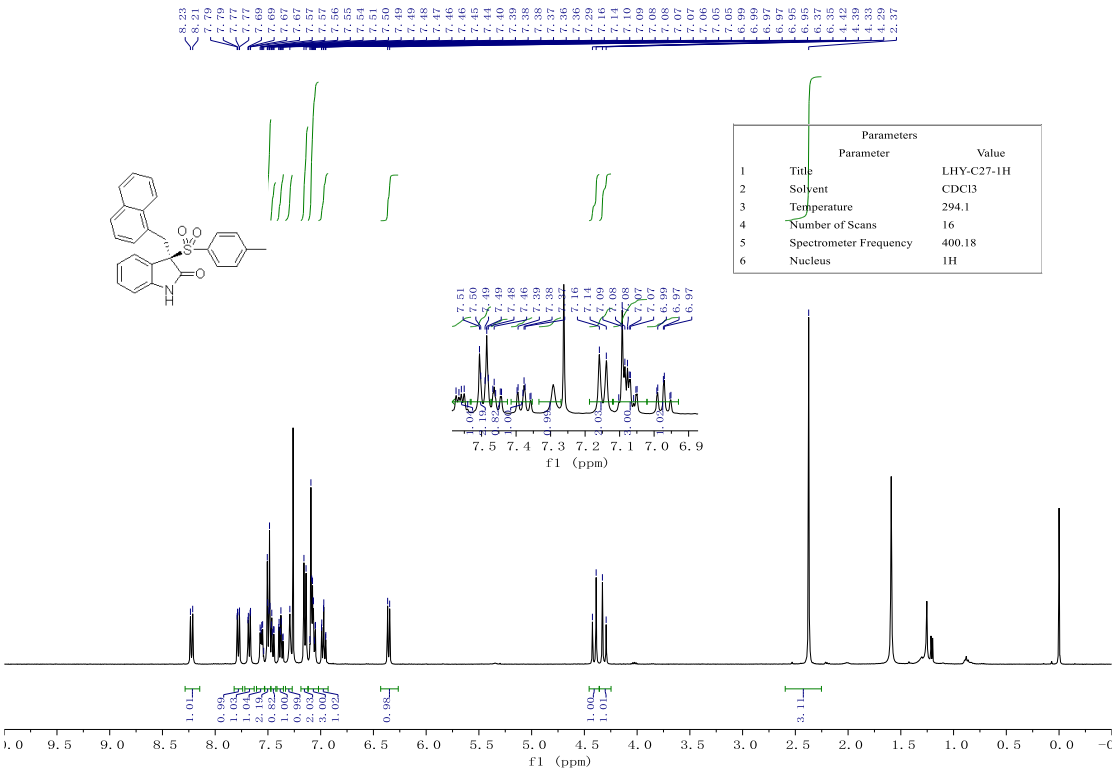
Parameters		
	Parameter	Value
1	Title	LHY-C24-13C
2	Solvent	CDCl3
3	Temperature	294.7
4	Number of Scans	256
5	Spectrometer Frequency	100.63
6	Nucleus	13C

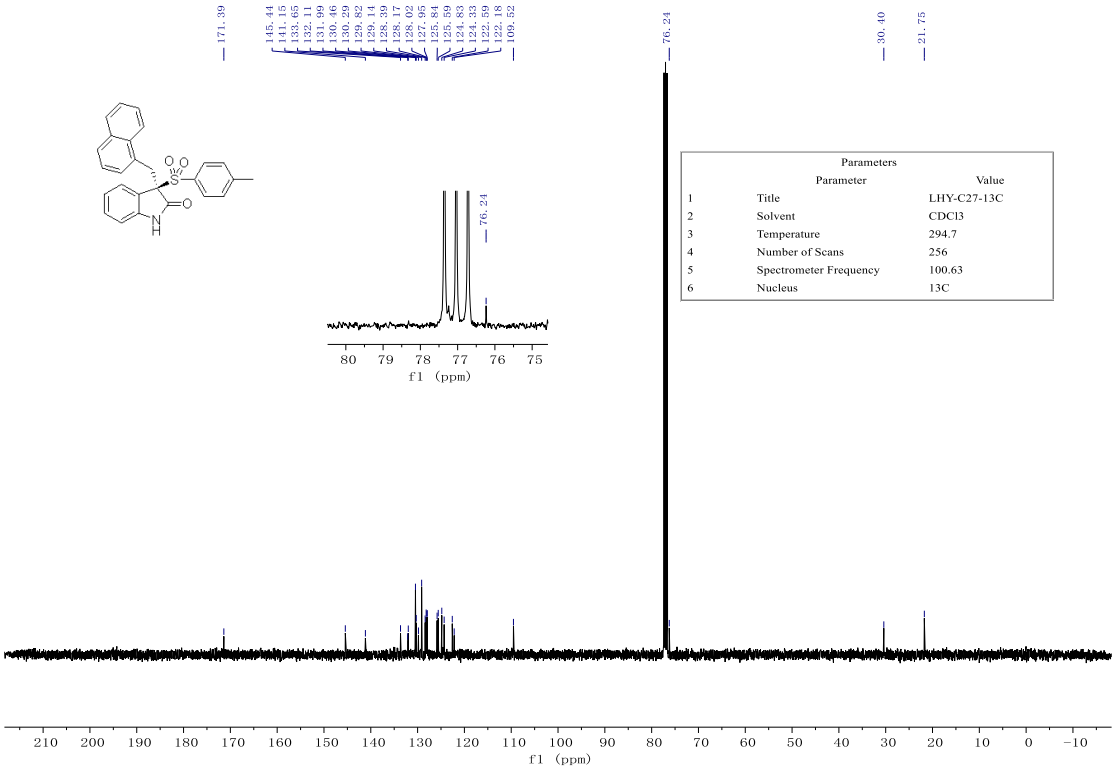

8.07
7.75
7.73
7.47
7.45
7.27
7.25
7.23
7.18
7.17
7.15
6.87
6.86
6.85
6.64
6.63
6.59
6.57
4.13
4.10
3.95
3.91
2.39
Parameters
Parameter Value
1 Title LHY-C25-1H
2 Solvent CDCl3
3 Temperature 293.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.47
7.45
7.27
7.25
7.23
7.18
7.17
7.15
2.03
0.87
1.97
f1 (ppm)
0.97
1.06
2.03
0.87
2.97
0.98
1.97
1.01
1.00
1.00
3.00
f1 (ppm)

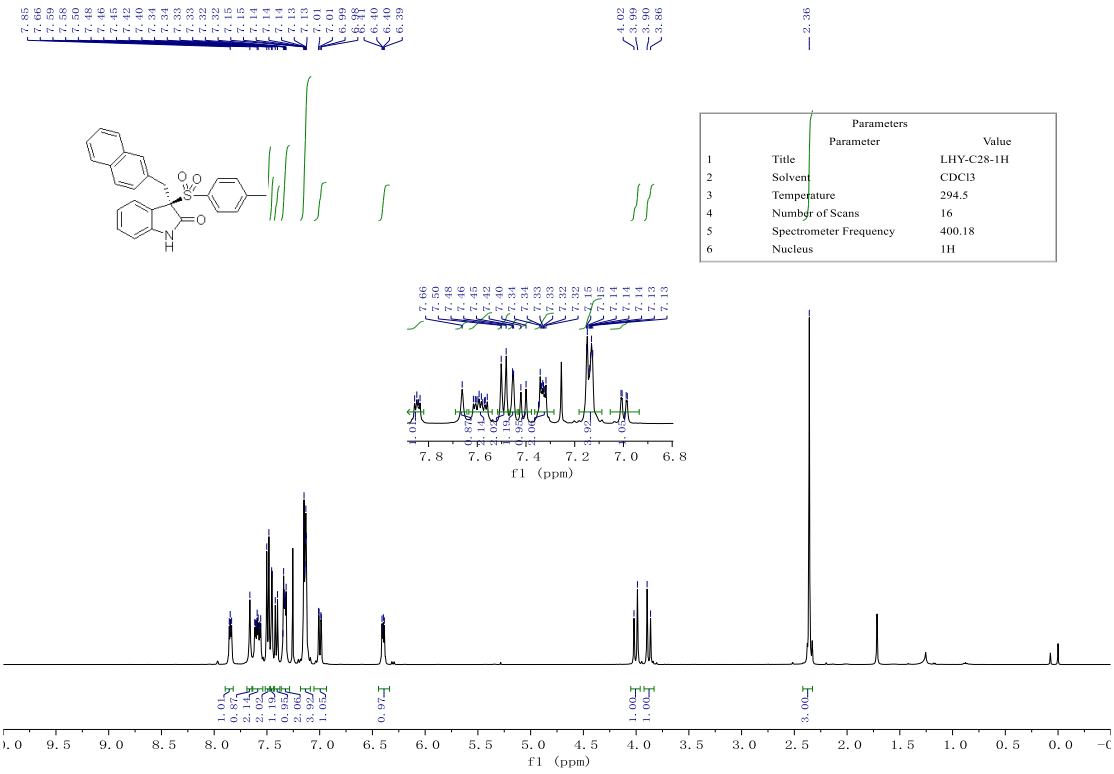

171.52
145.66
141.79
134.29
131.81
130.72
130.31
129.23
127.90
127.07
126.52
125.29
123.07
122.27
110.12
75.83
29.81
21.78
Parameters
Parameter Value
1 Title LHY-C25-13C
2 Solvent CDCl3
3 Temperature 294.5
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)


8.28 7.66 7.65 7.64 7.63 7.47 7.46 7.45 7.45 7.24 7.23 7.22 7.21 7.20 7.19 7.17 7.15 7.12 7.12 7.10 7.10 7.09 7.08 6.86 6.86 6.86 6.86 6.62 6.60 5.98 5.97 5.97 5.96 5.84 5.83
3.91 3.88 3.87 3.86 3.86 3.82
2.38
Parameters
Parameter Value
1 Title LHY-C26-1H
2 Solvent CDCl3
3 Temperature 293.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.24 7.23 7.22 7.21 7.20 7.19 7.17 7.15 7.12 7.12 7.10 7.10 7.09 7.08
1.05 1.97 1.11
7.30 7.20 7.10 7.00
f1 (ppm)
0.92 1.05 2.00 1.09 1.97 1.11 0.90 1.02 0.91 0.89 2.06 3.16
f1 (ppm)

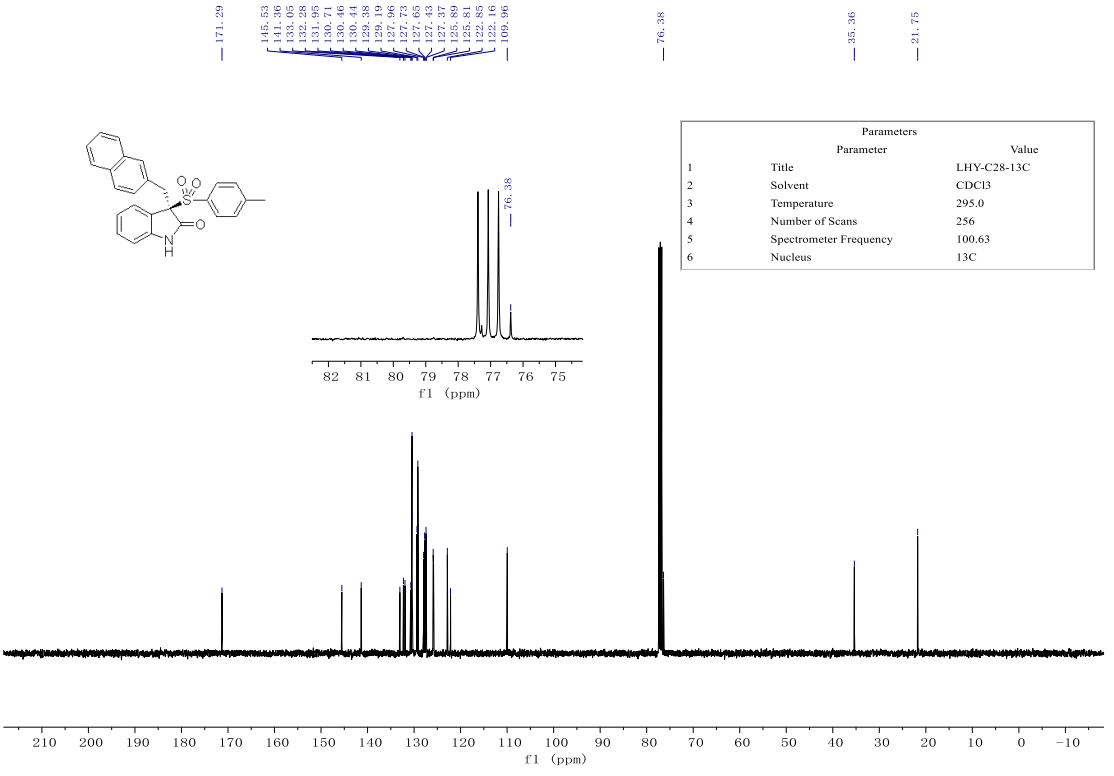

171.90
147.69 145.68 142.14 141.51 131.56 130.49 130.36 129.21 129.18 127.13 122.94 122.19 110.18 109.99 108.48
74.40
28.40 21.77
Parameters
Parameter Value
1 Title LHY-C26-13C
2 Solvent CDCl3
3 Temperature 294.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)


Parameters
Parameter Value
1 Title LHY-C27-1H
2 Solvent CDCl3
3 Temperature 294.1
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

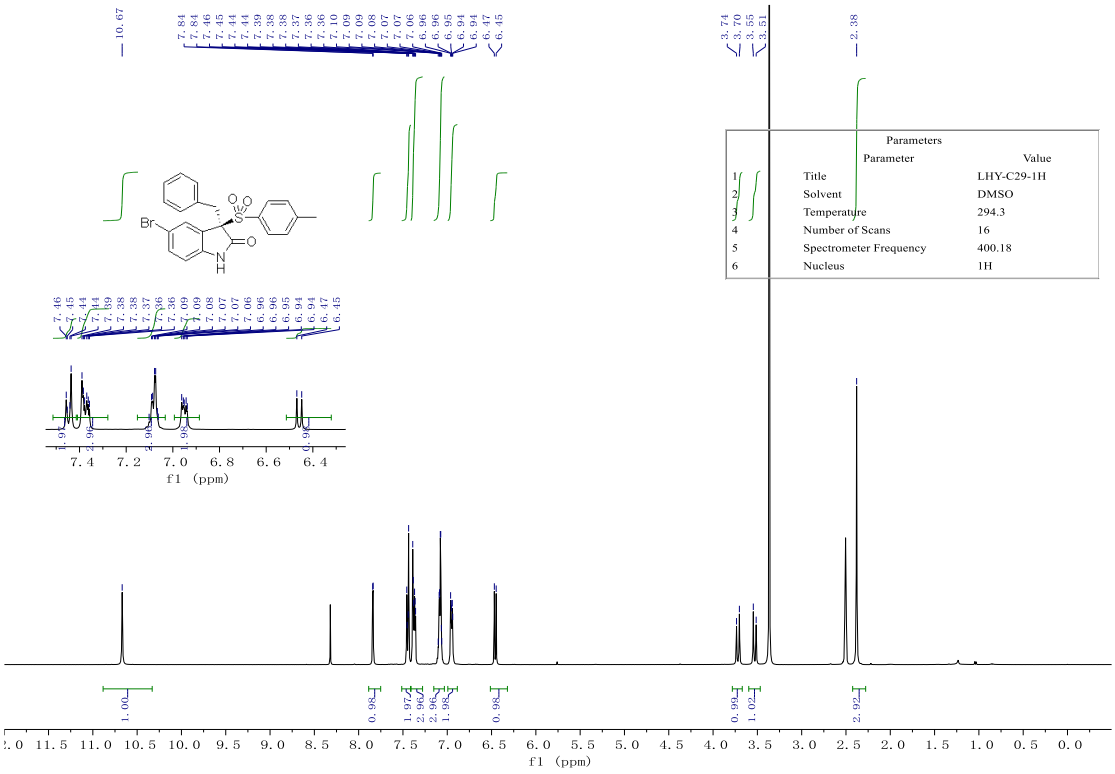

Parameters
Parameter Value
1 Title LHY-C27-13C
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

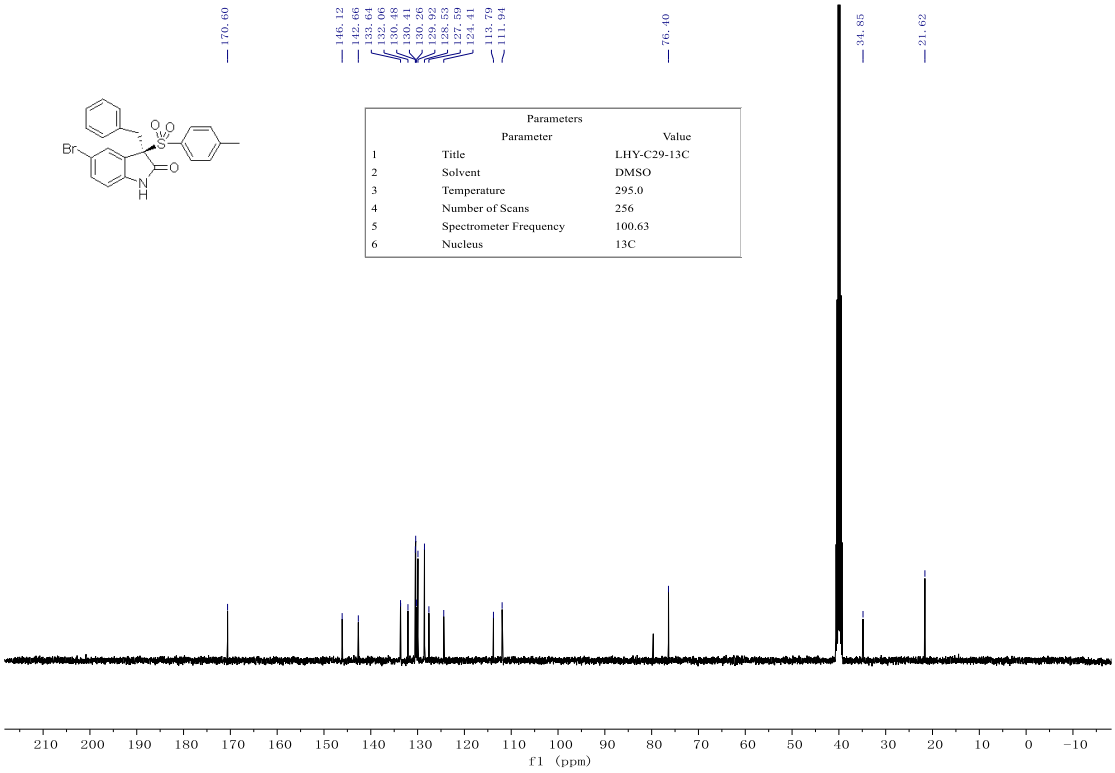


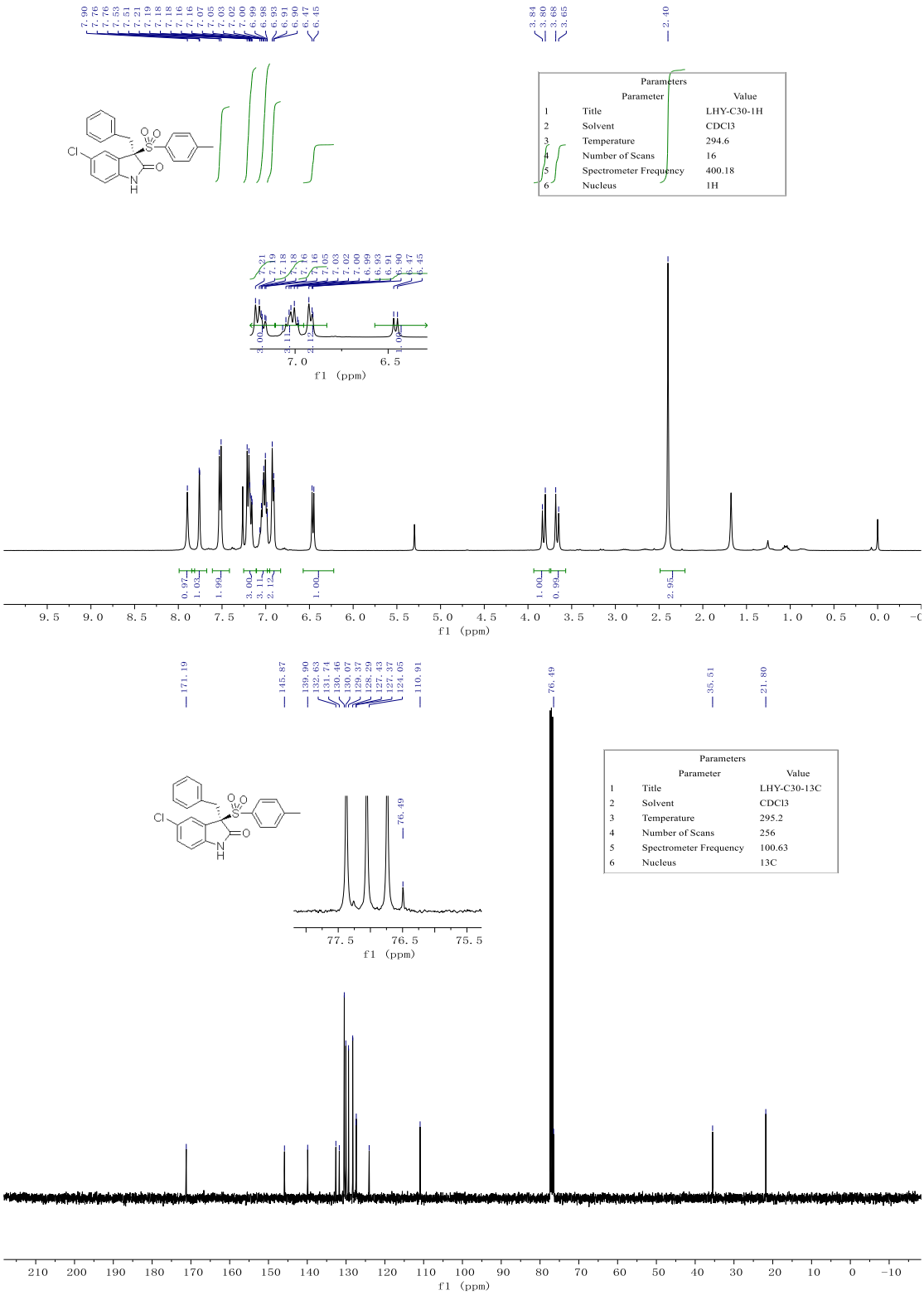
Parameters		
	Parameter	Value
1	Title	LHY-C28-1H
2	Solvent	CDCl3
3	Temperature	294.5
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

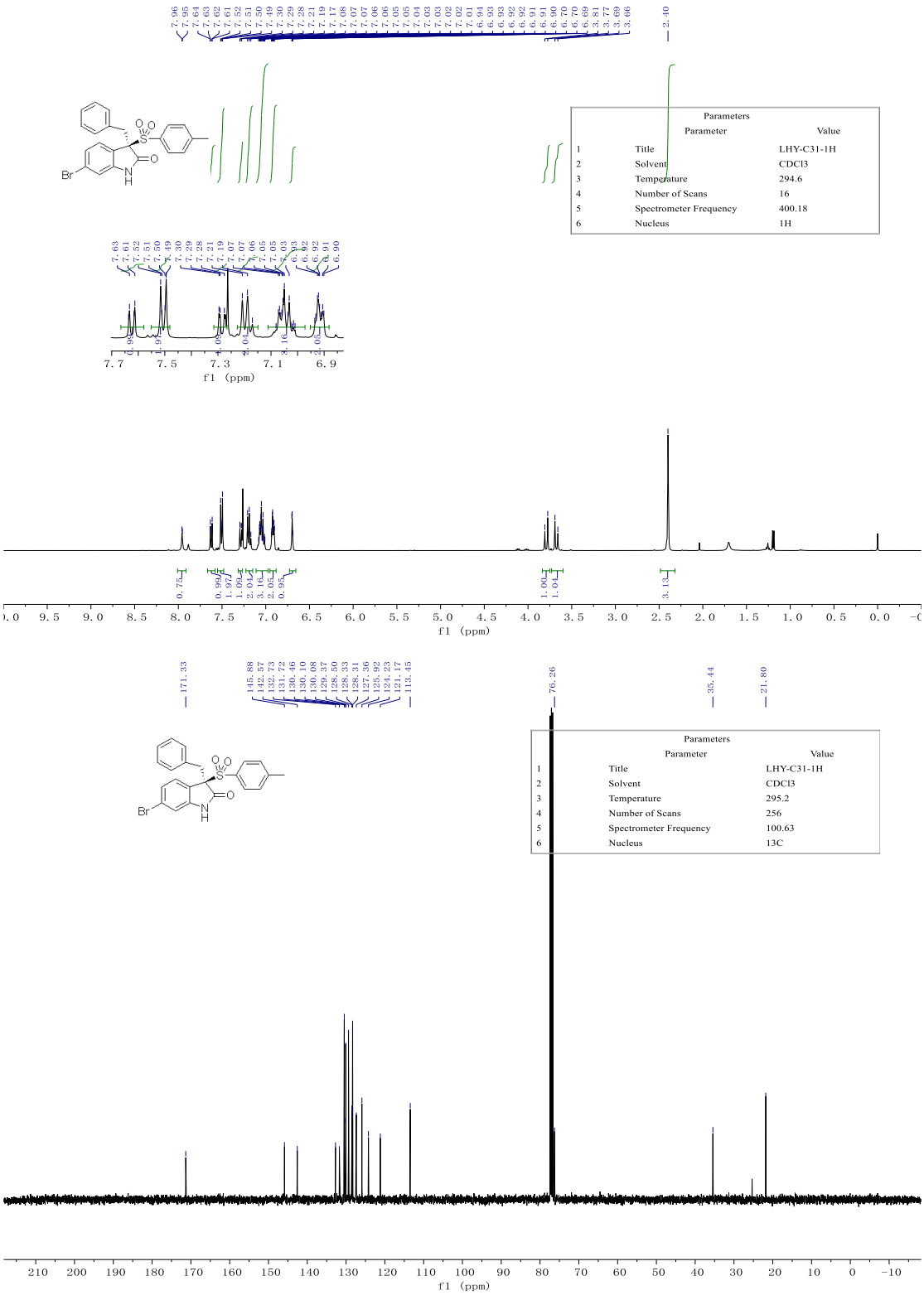


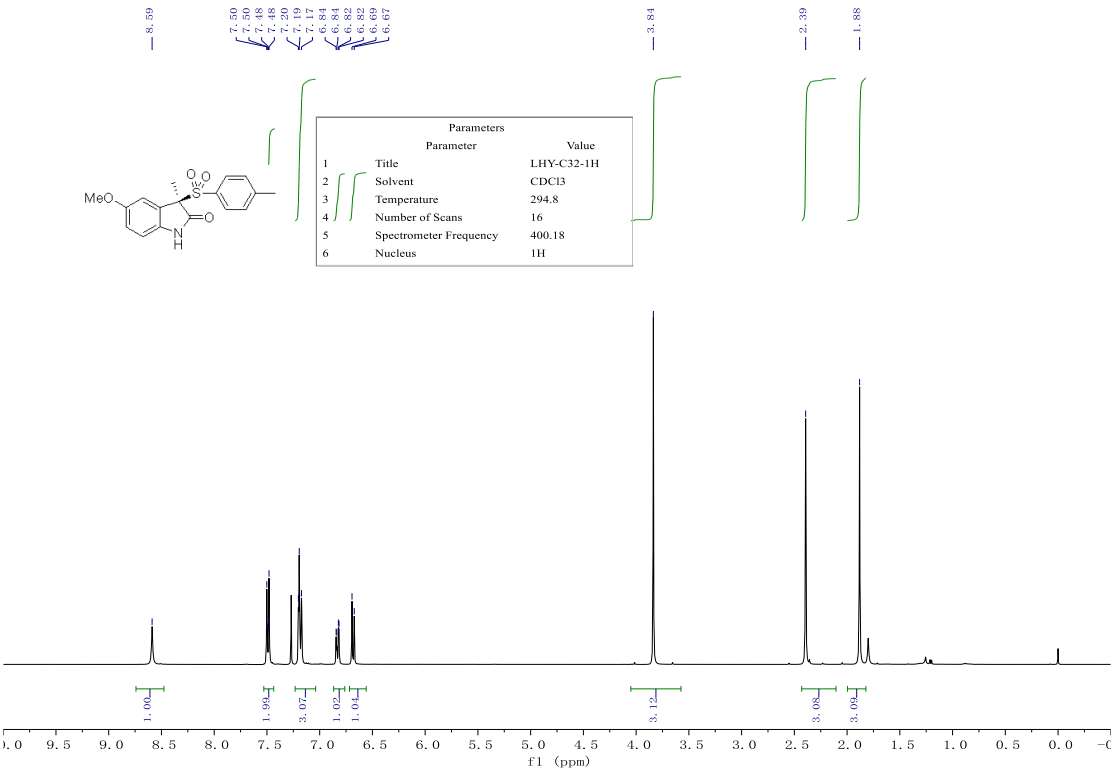
Parameters		
	Parameter	Value
1	Title	LHY-C28-13C
2	Solvent	CDCl3
3	Temperature	295.0
4	Number of Scans	256
5	Spectrometer Frequency	100.63
6	Nucleus	13C

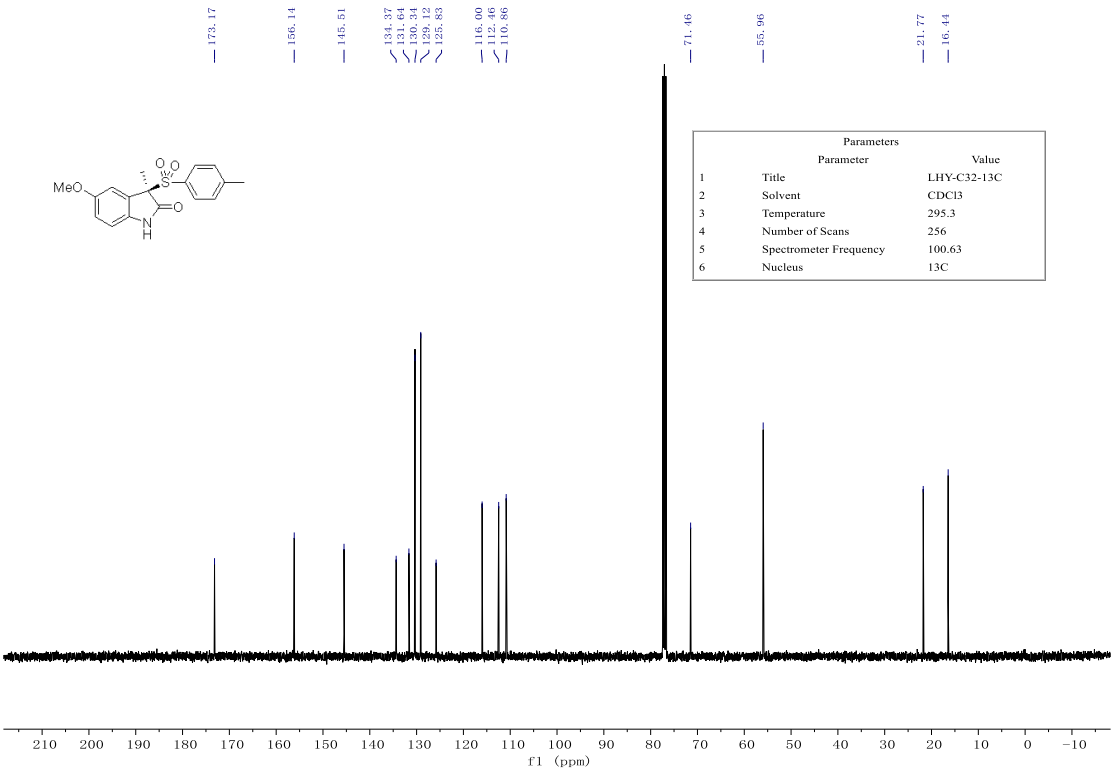

Parameters
Parameter Value
1 Title LHY-C29-1H
2 Solvent DMSO
3 Temperature 294.3
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

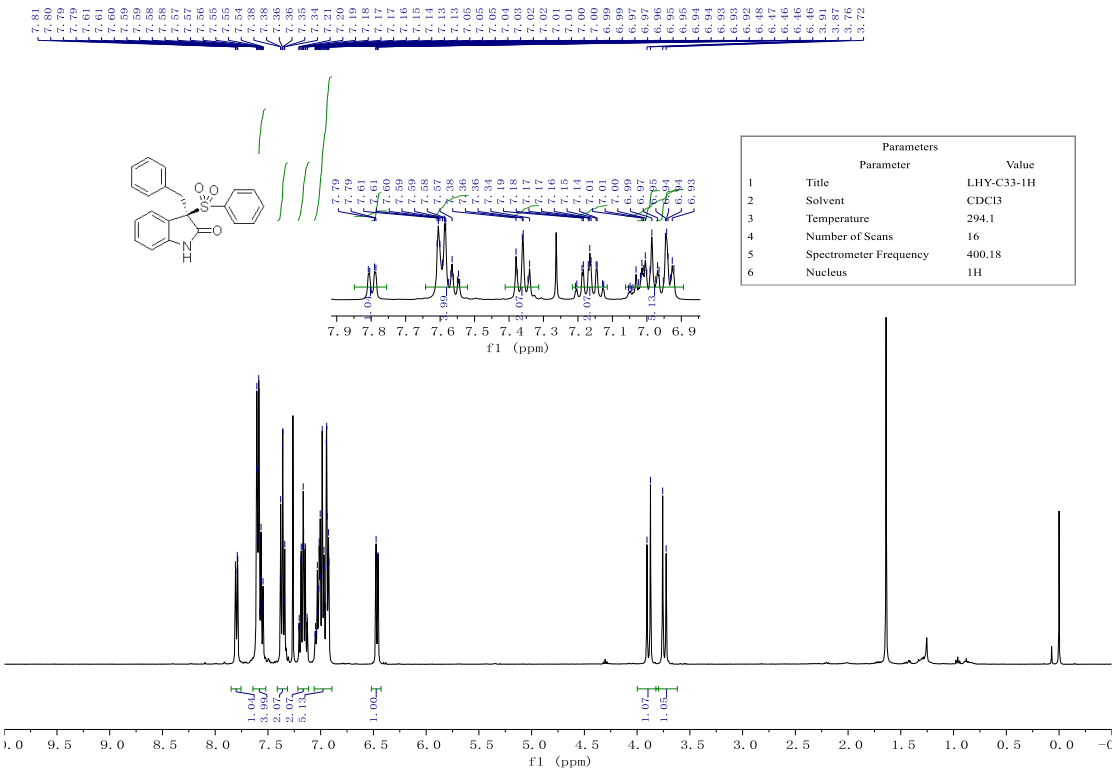

Parameters
Parameter Value
1 Title LHY-C29-13C
2 Solvent DMSO
3 Temperature 295.0
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

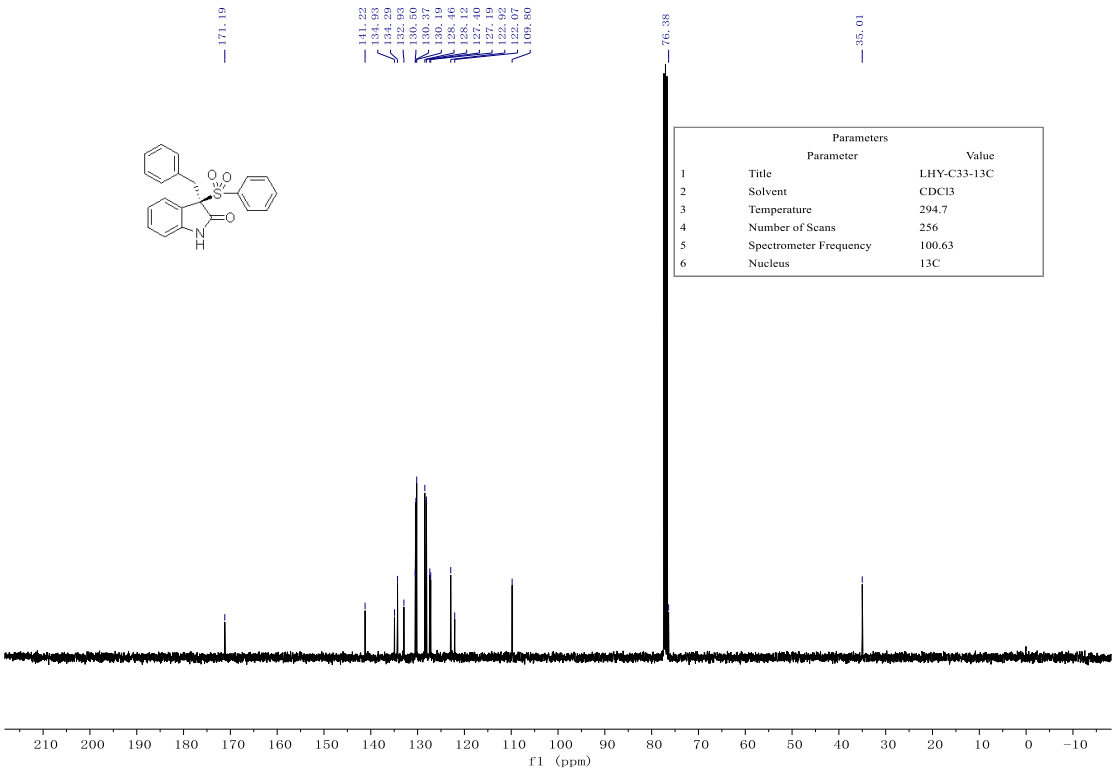

7.90 7.76 7.76 7.55 7.51 7.21 7.19 7.18 7.18 7.16 7.07 7.05 7.02 7.00 6.99 6.98 6.93 6.91 6.90 6.47 6.45
3.84 3.80 3.68 3.65
2.40
Parameters
Parameter Value
1 Title LHY-C30-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
171.19 145.87 139.90 132.63 131.74 130.46 130.07 129.37 128.29 127.43 127.37 124.05 110.91 76.49 35.51 21.80
Parameters
Parameter Value
1 Title LHY-C30-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

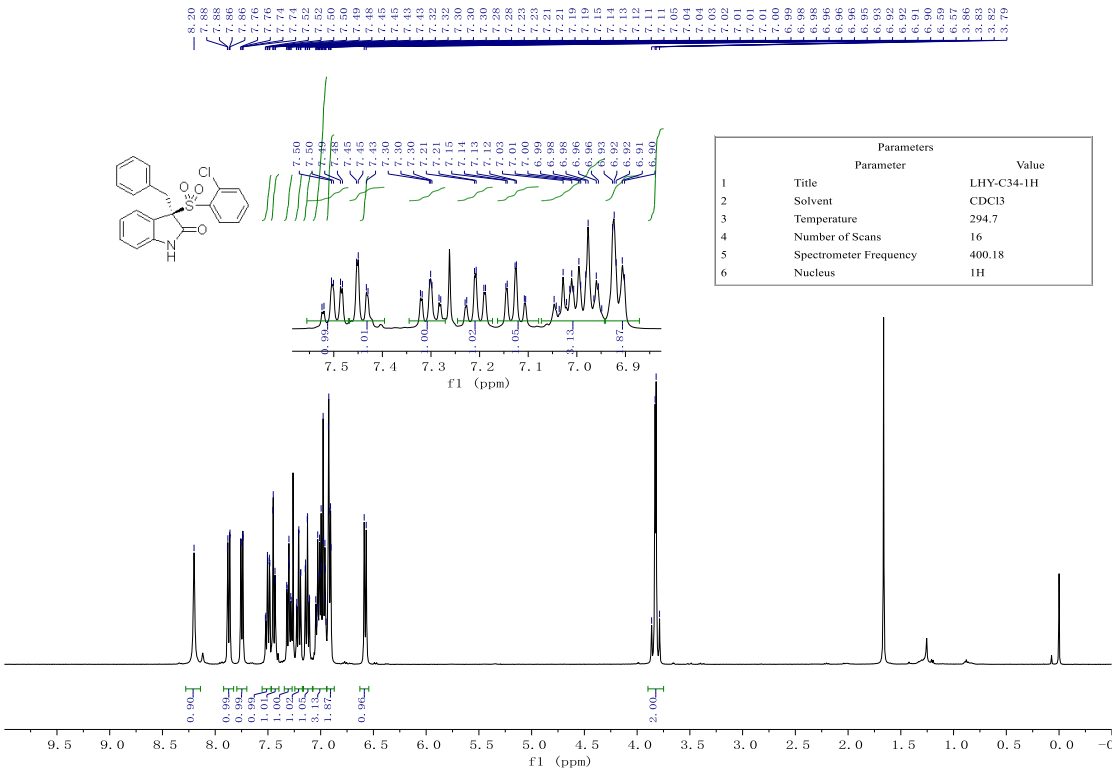

Parameters
Parameter Value
1 Title LHY-C31-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
Parameters
Parameter Value
1 Title LHY-C31-1H
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

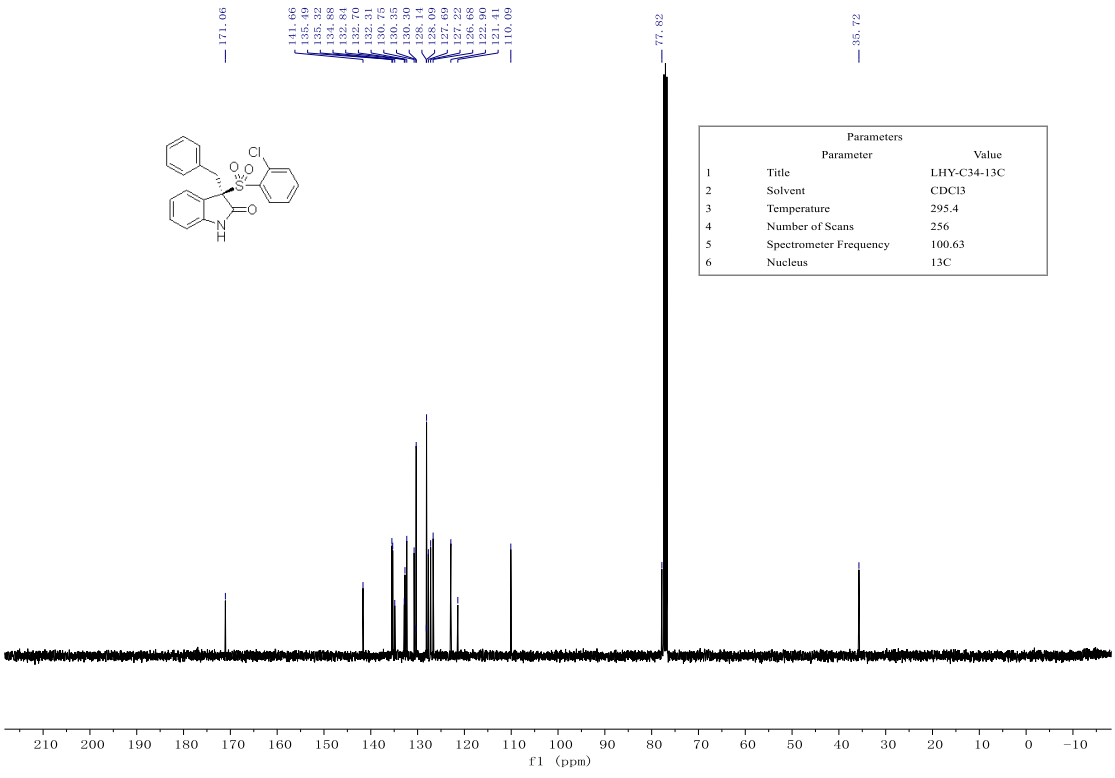

8.59
7.50
7.50
7.48
7.48
7.20
7.19
7.17
7.17
6.84
6.84
6.82
6.82
6.69
6.67
3.84
2.39
1.88
MeO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C32-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
1.00
1.99
3.07
1.02
1.04
3.12
3.08
3.09
f1 (ppm)

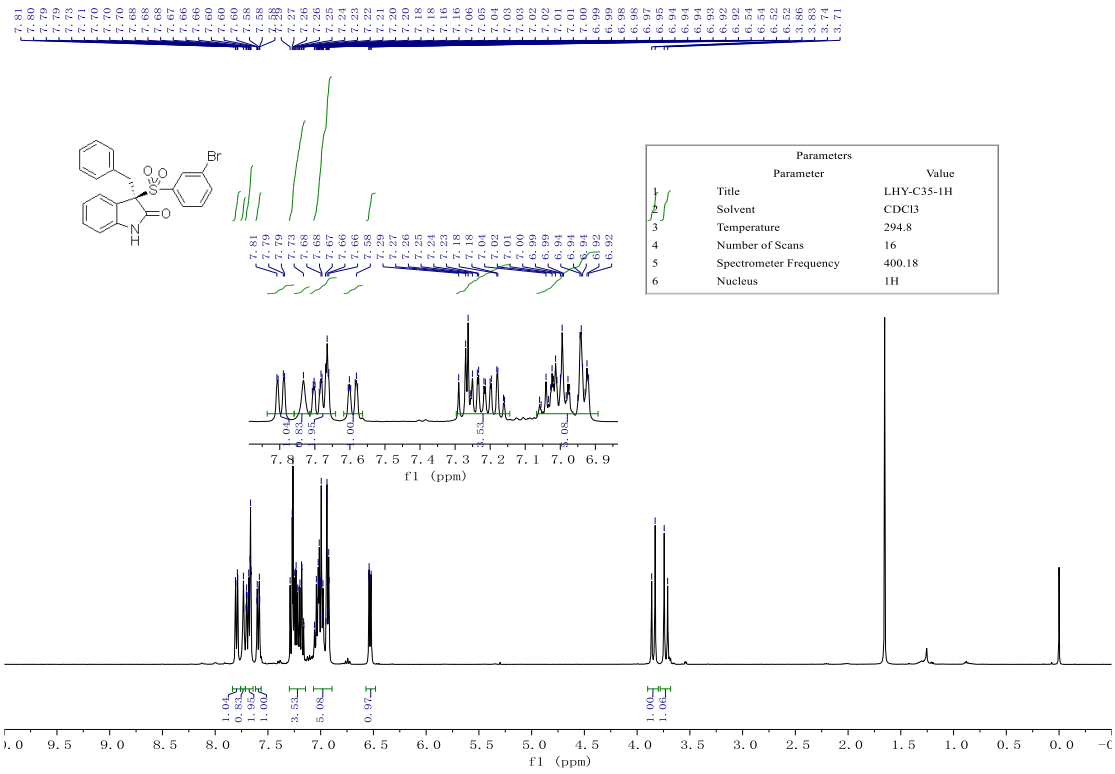

173.17
156.14
145.51
134.37
131.64
130.34
129.12
125.83
116.90
112.46
110.86
71.46
55.96
21.77
16.44
MeO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-C32-13C
2 Solvent CDCl3
3 Temperature 295.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

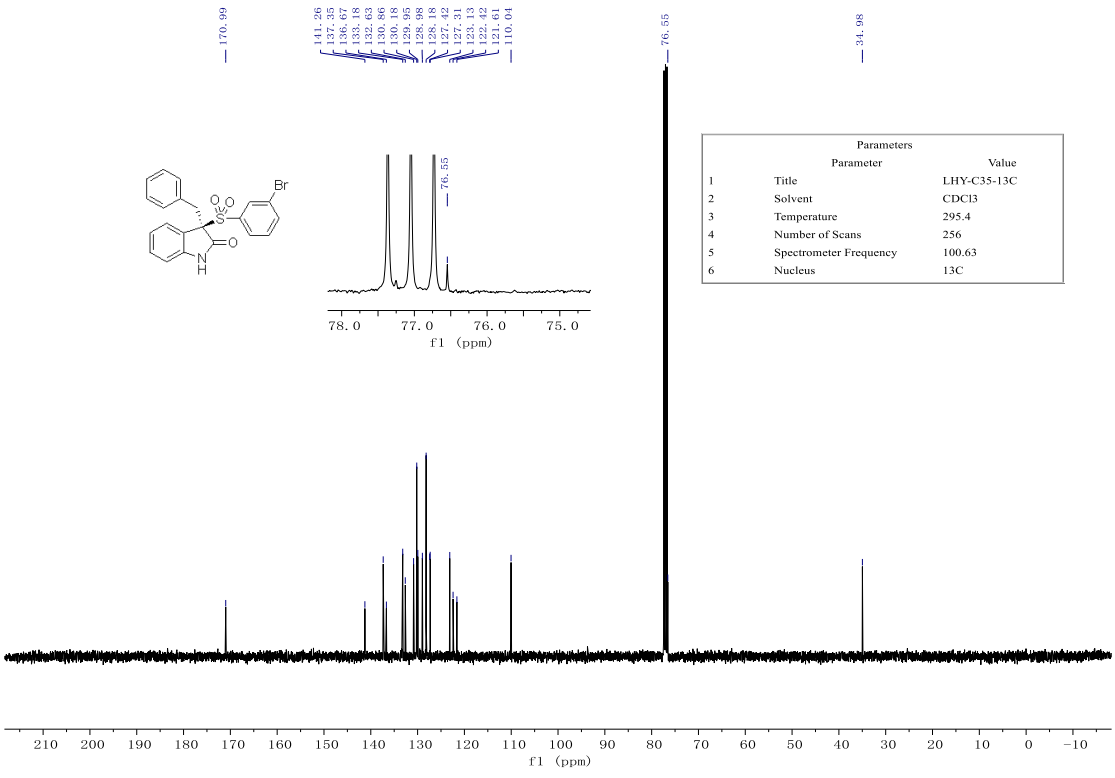

Parameters
Parameter Value
1 Title LHY-C33-1H
2 Solvent CDCl3
3 Temperature 294.1
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

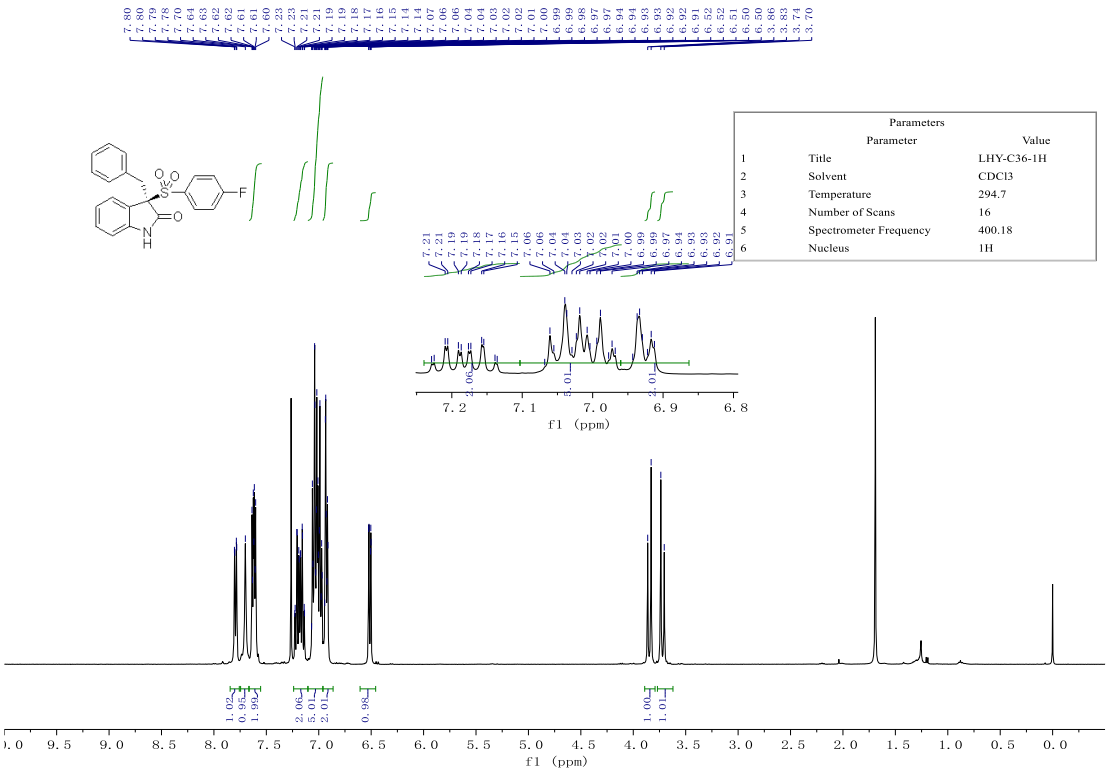

Parameters
Parameter Value
1 Title LHY-C33-13C
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

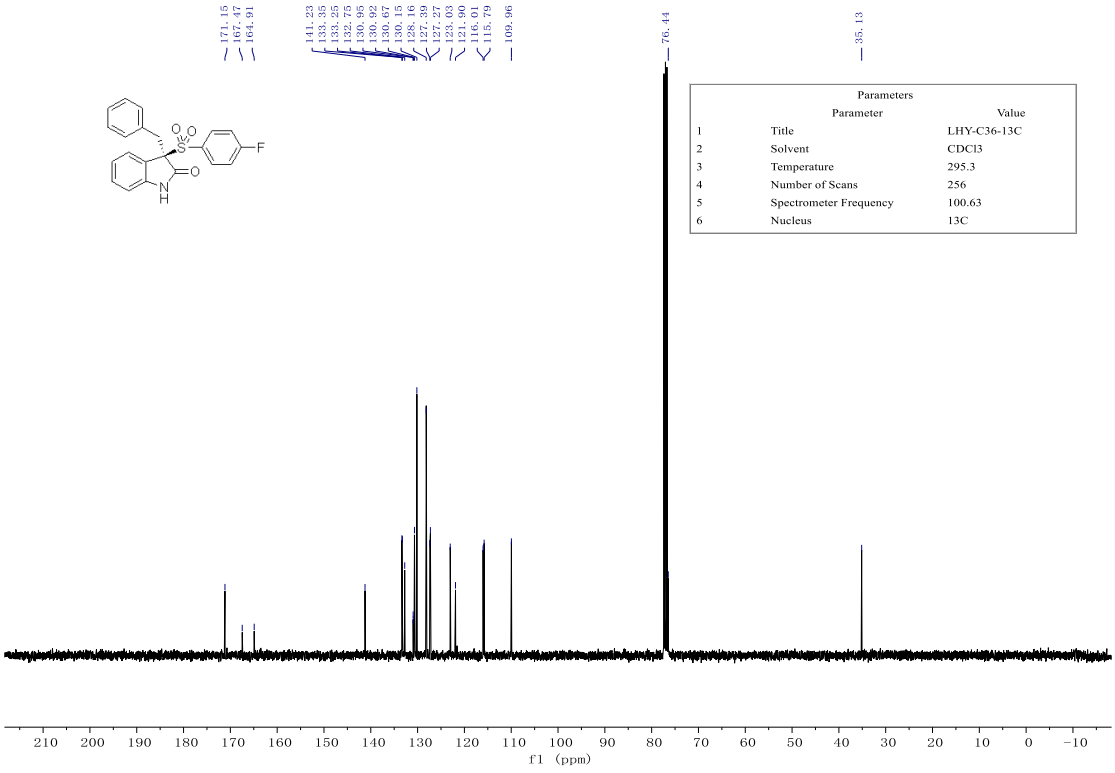

Parameters
Parameter Value
1 Title LHY-C34-1H
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

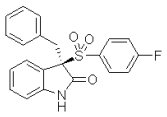

Parameters
Parameter Value
1 Title LHY-C34-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)


Br
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C35-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

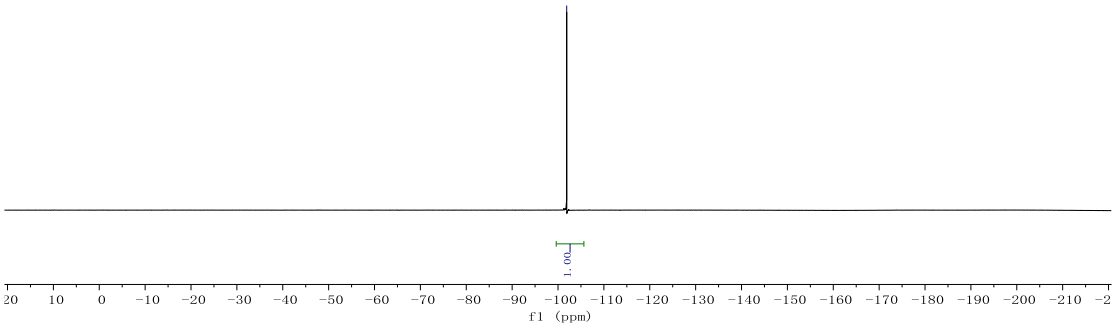

Br
O
O
S
N
H
O
Parameters
Parameter Value
1 Title LHY-C35-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

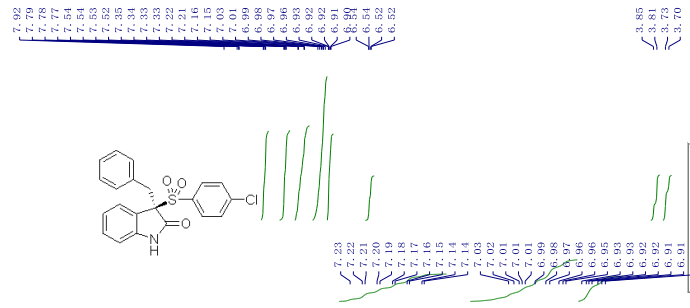

Parameters
Parameter Value
1 Title LHY-C36-1H
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)


Parameters
Parameter Value
1 Title LHY-C36-13C
2 Solvent CDCl3
3 Temperature 295.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

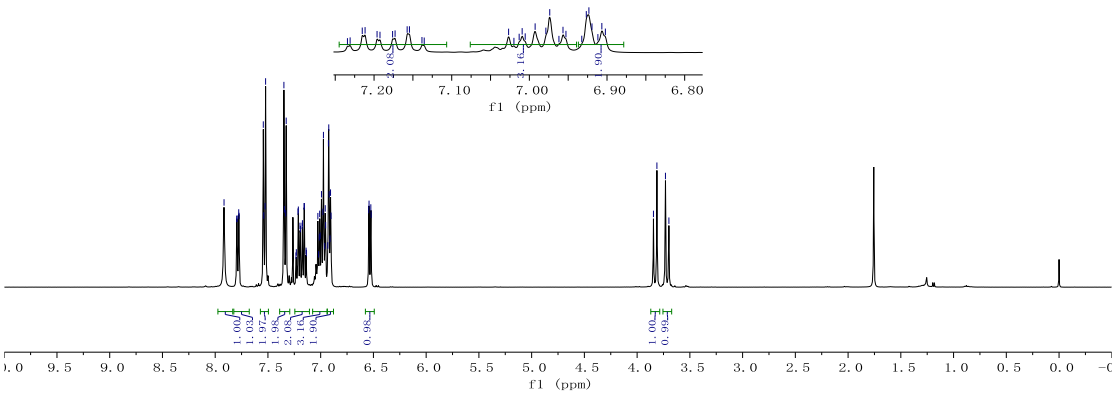


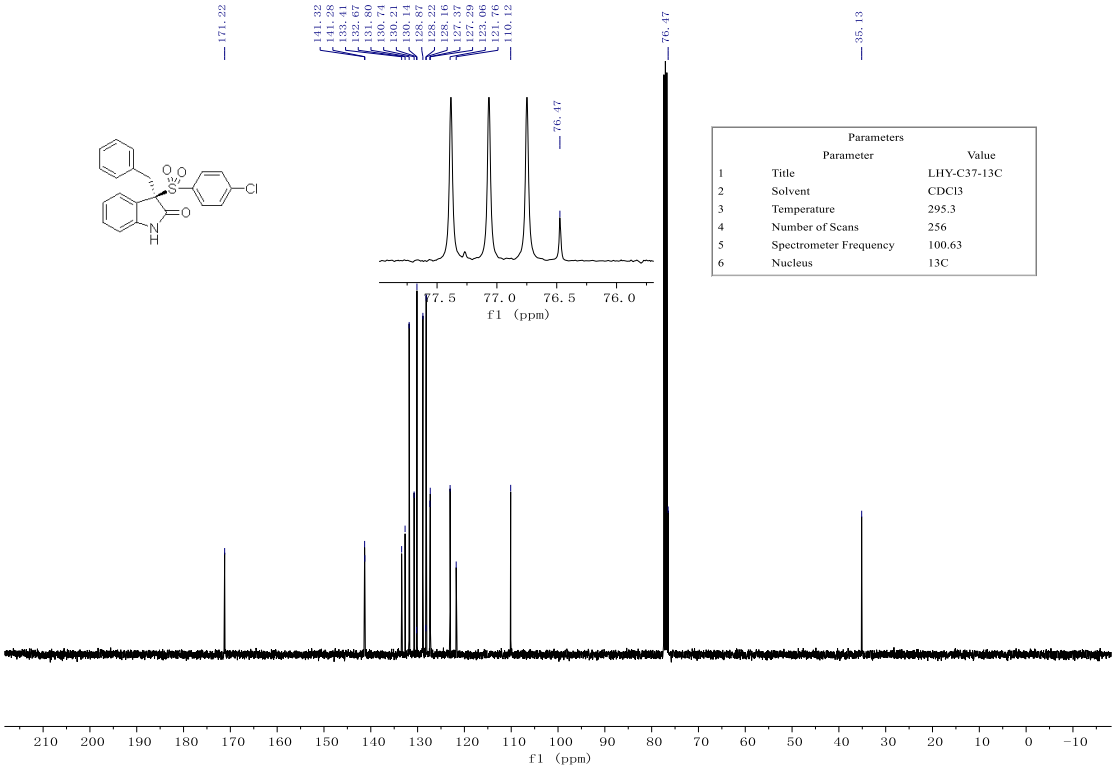
Parameters		
	Parameter	Value
1	Title	LHY-C36-19F
2	Solvent	CDCl3
3	Temperature	295.0
4	Number of Scans	16
5	Spectrometer Frequency	376.55
6	Nucleus	19F

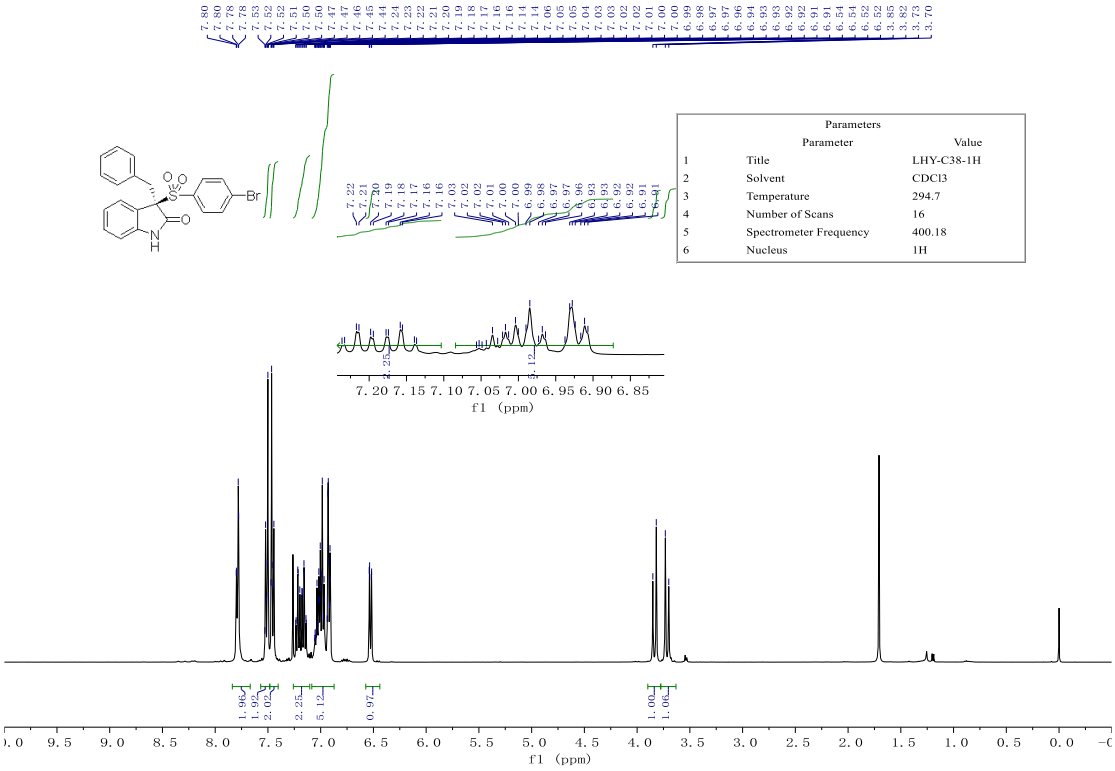


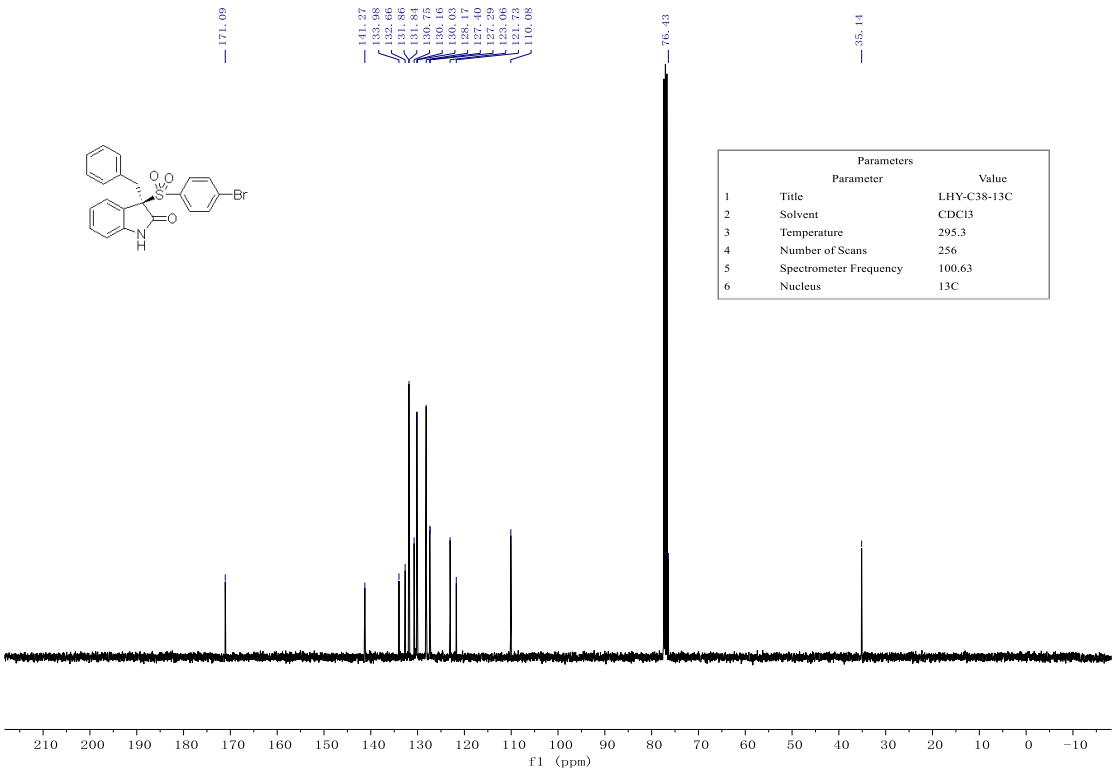


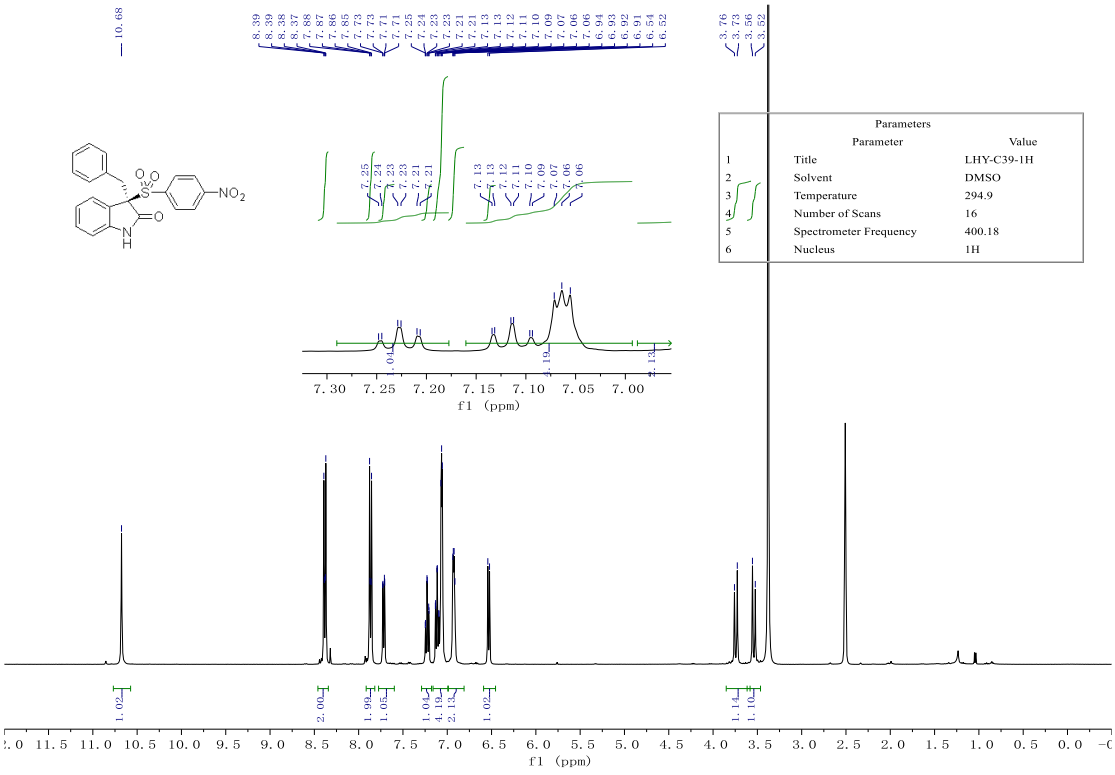
Parameters		
	Parameter	Value
1	Title	LHY-C37-1H
2	Solvent	CDCl3
3	Temperature	294.6
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

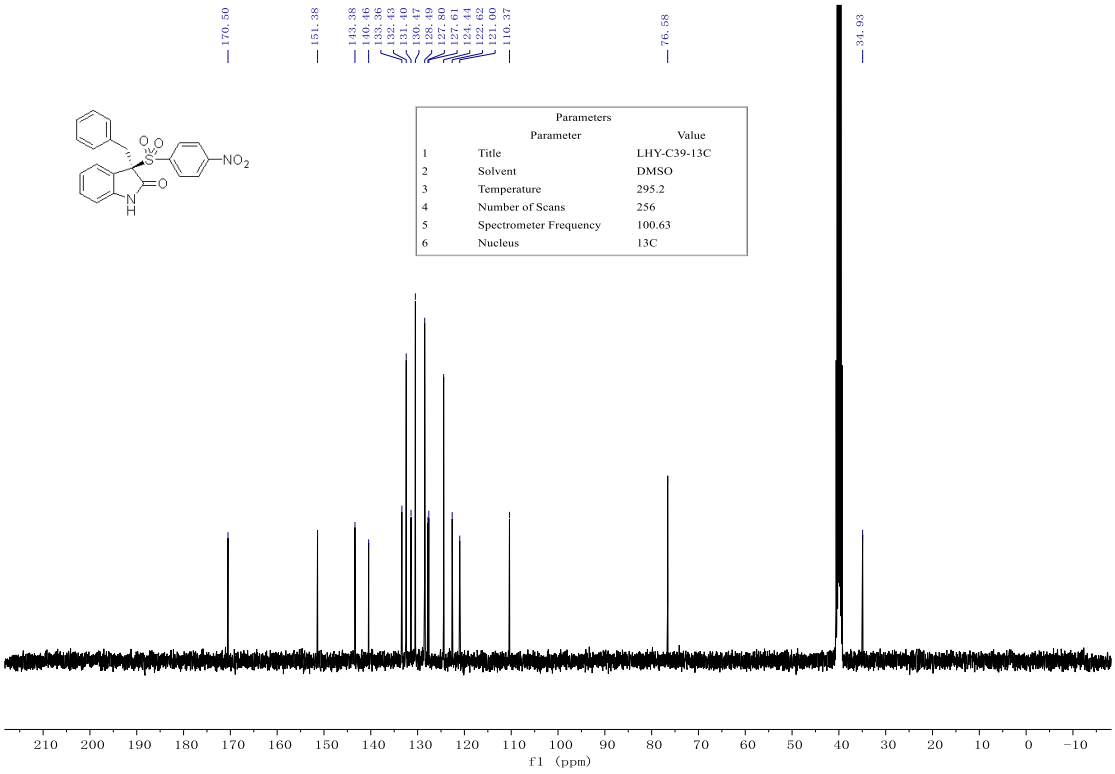



171.22
141.32
141.28
133.41
132.67
131.80
130.74
130.21
130.14
128.87
128.22
128.16
127.37
127.29
123.06
121.76
110.12
76.47
35.13
Cl
O
S
O
O
N
H
77.5
77.0
76.5
76.0
f1 (ppm)
Parameters
Parameter Value
1 Title LHY-C37-13C
2 Solvent CDCl3
3 Temperature 295.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

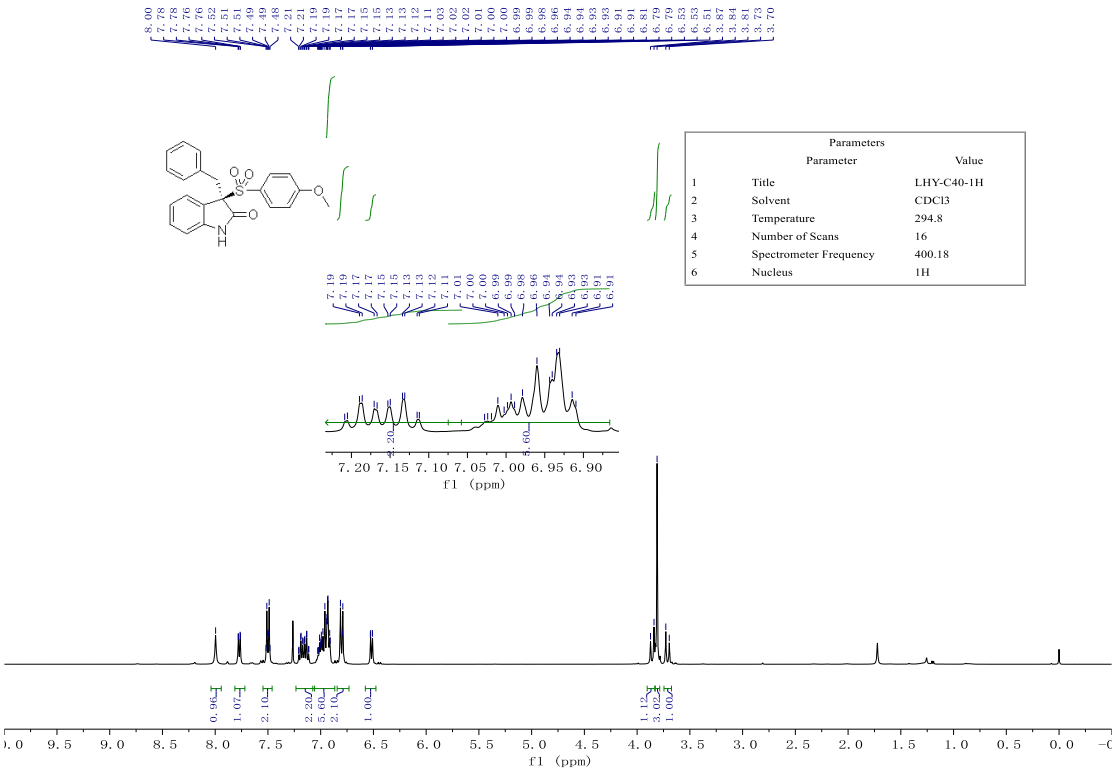

7.80
7.78
7.53
7.52
7.51
7.50
7.47
7.46
7.45
7.44
7.24
7.23
7.22
7.21
7.20
7.19
7.18
7.17
7.16
7.14
7.06
7.05
7.04
7.03
7.02
7.01
7.00
6.99
6.98
6.97
6.96
6.94
6.93
6.92
6.91
6.54
6.52
3.85
3.82
3.73
3.70
Br
O
S
O
O
N
H
Parameters
Parameter Value
1 Title LHY-C38-1H
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
7.20 7.15 7.10 7.05 7.00 6.95 6.90 6.85
f1 (ppm)
1.96
1.95
2.05
2.25
5.12
0.97
1.00
1.06
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


171.09
141.27
133.98
132.66
131.86
131.84
130.75
130.16
130.03
128.17
127.40
127.29
123.06
121.73
110.08
76.43
35.14
Br
Parameters
Parameter Value
1 Title LHY-C38-13C
2 Solvent CDCl3
3 Temperature 295.3
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

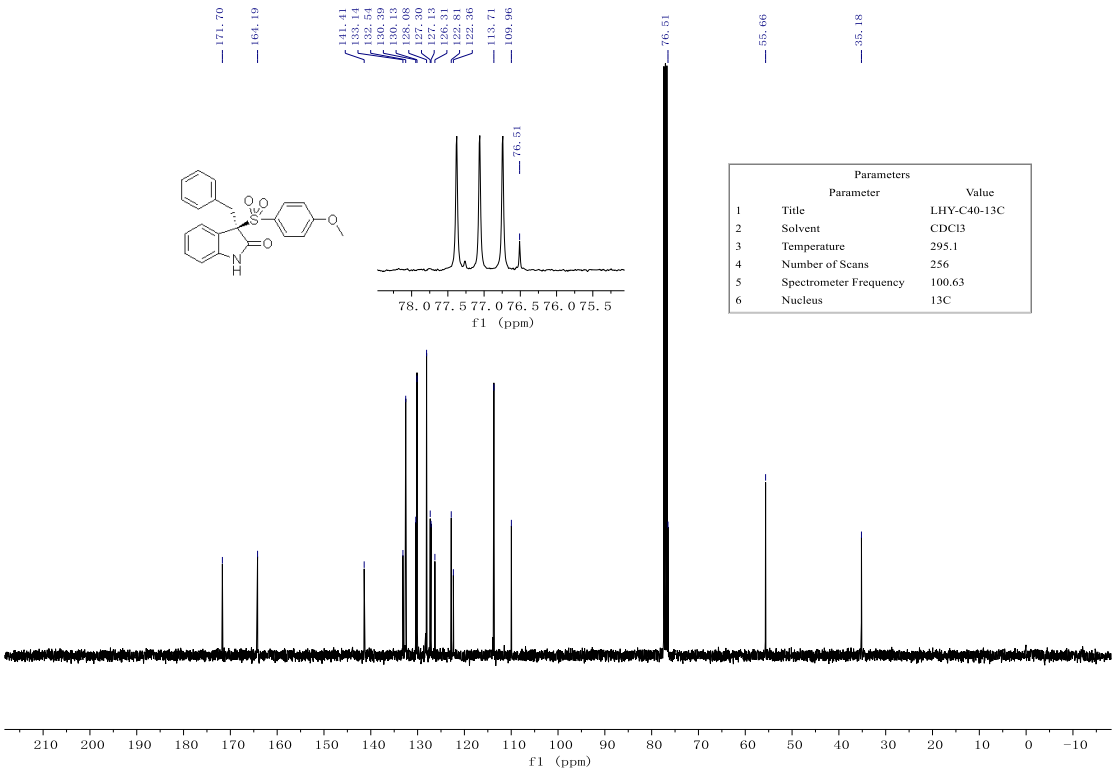

10.68
8.39
8.39
8.38
8.37
7.88
7.87
7.86
7.85
7.73
7.73
7.71
7.71
7.25
7.24
7.23
7.23
7.21
7.21
7.13
7.13
7.12
7.11
7.10
7.09
7.07
7.06
7.06
6.94
6.93
6.92
6.91
6.54
6.52
3.76
3.73
3.56
3.52
NO2
Parameters
Parameter Value
1 Title LHY-C39-1H
2 Solvent DMSO
3 Temperature 294.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
1.02
2.00
1.98
1.05
1.04
4.19
2.13
1.02
1.14
1.10
f1 (ppm)



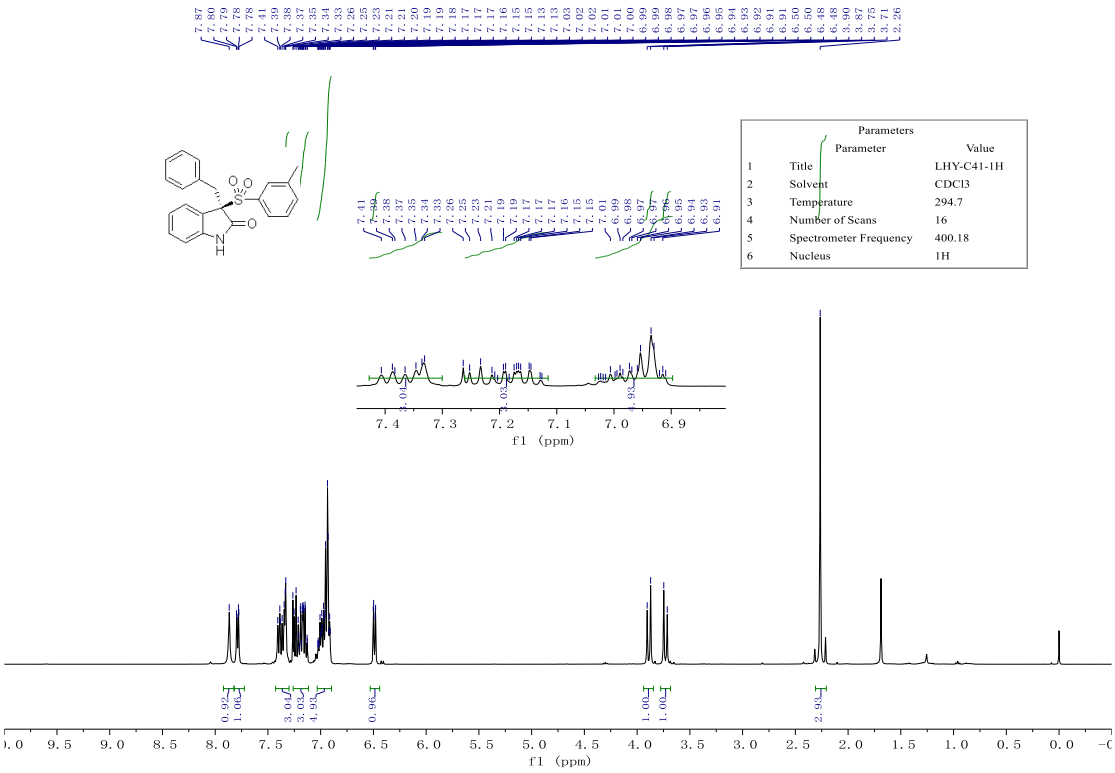
Parameters		
	Parameter	Value
1	Title	LHY-C39-13C
2	Solvent	DMSO
3	Temperature	295.2
4	Number of Scans	256
5	Spectrometer Frequency	100.63
6	Nucleus	13C



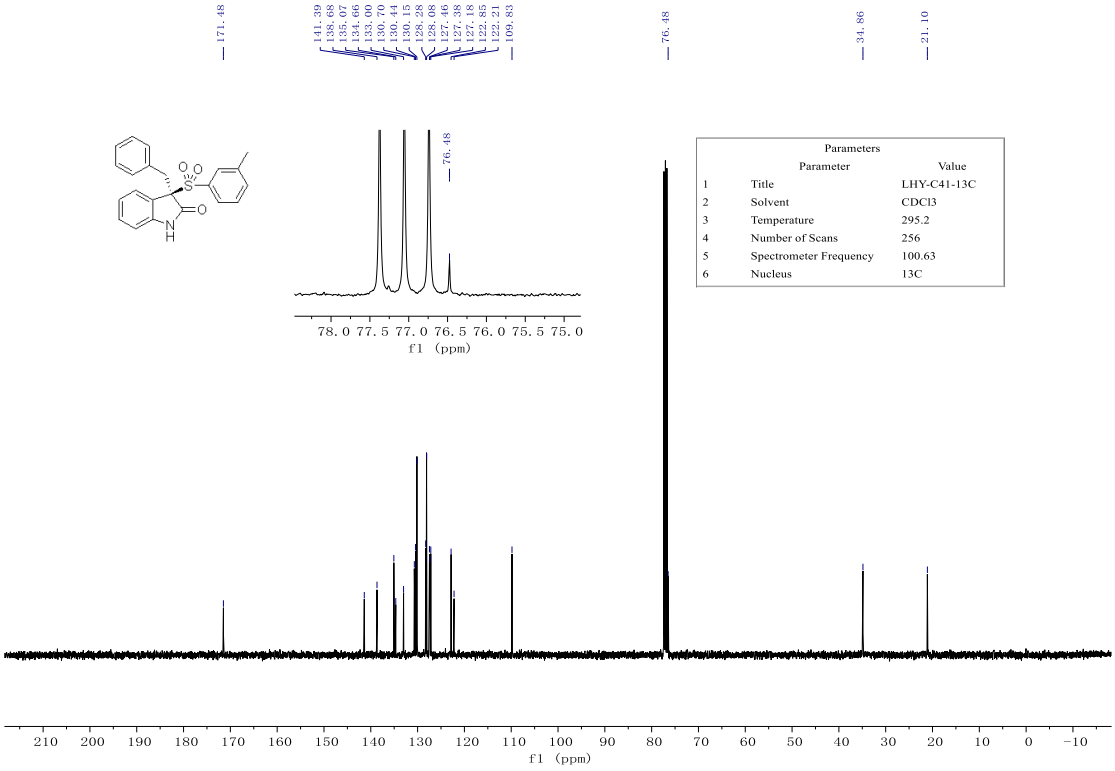
Parameters		
	Parameter	Value
1	Title	LHY-C40-1H
2	Solvent	CDCl3
3	Temperature	294.8
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

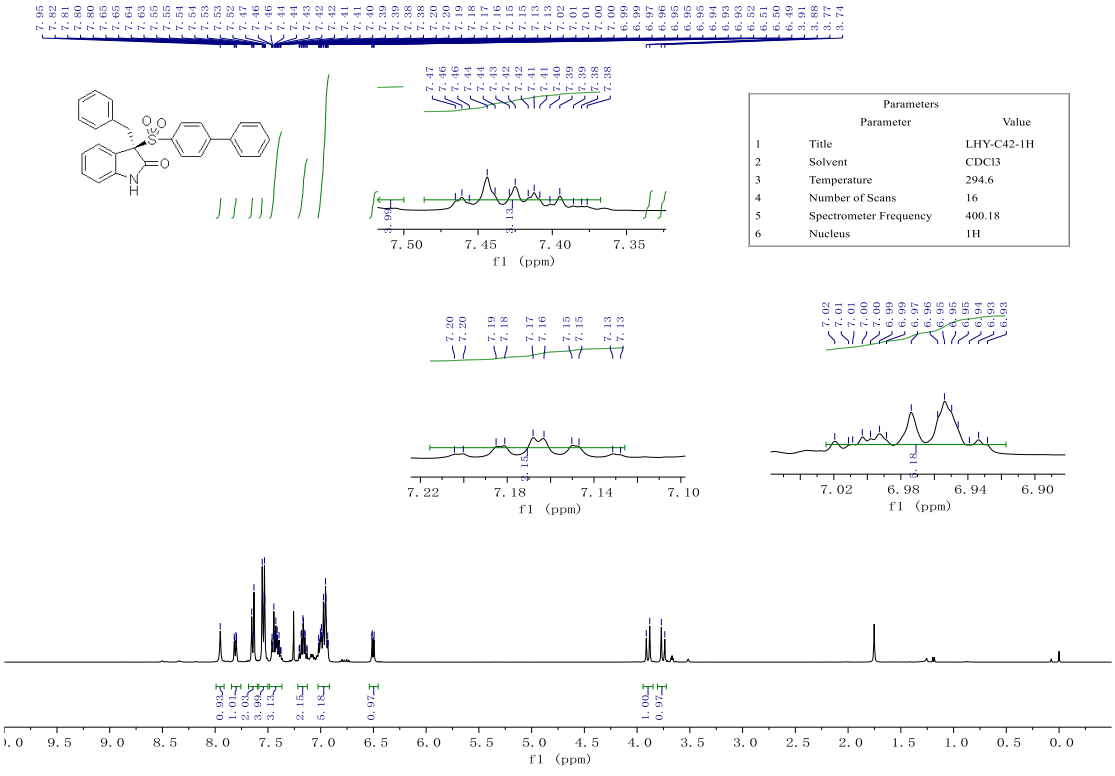


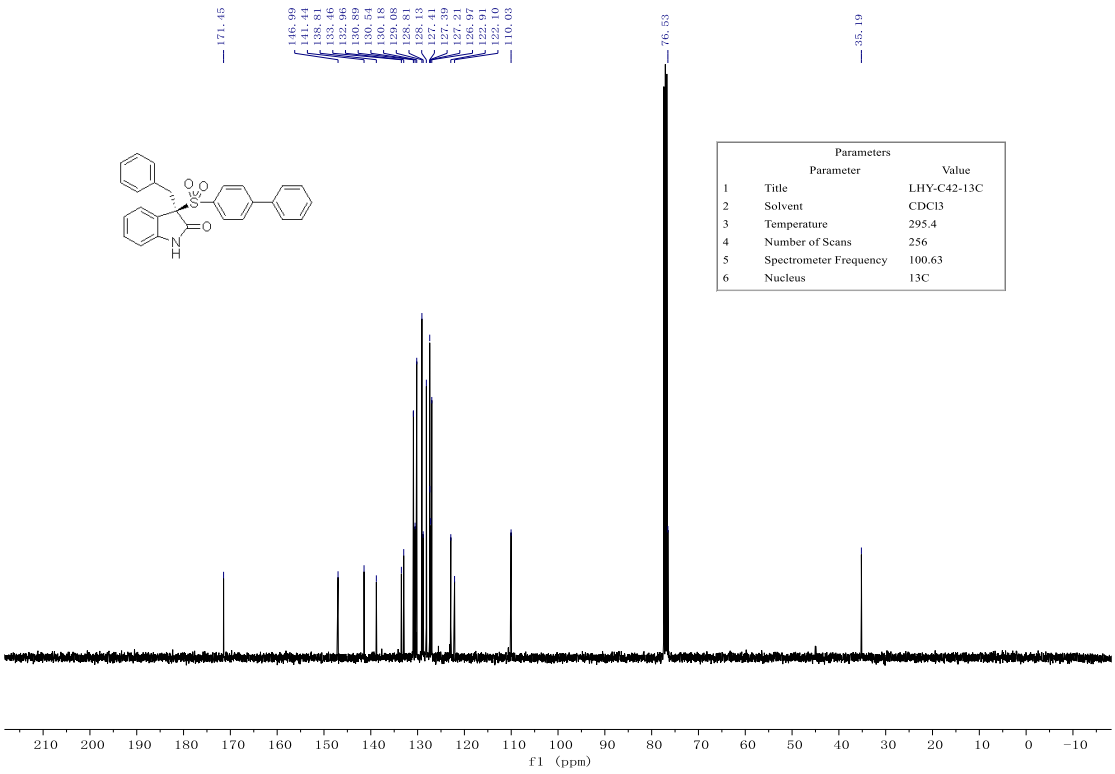
Parameters		
	Parameter	Value
1	Title	LHY-C40-13C
2	Solvent	CDCl3
3	Temperature	295.1
4	Number of Scans	256
5	Spectrometer Frequency	100.63
6	Nucleus	13C

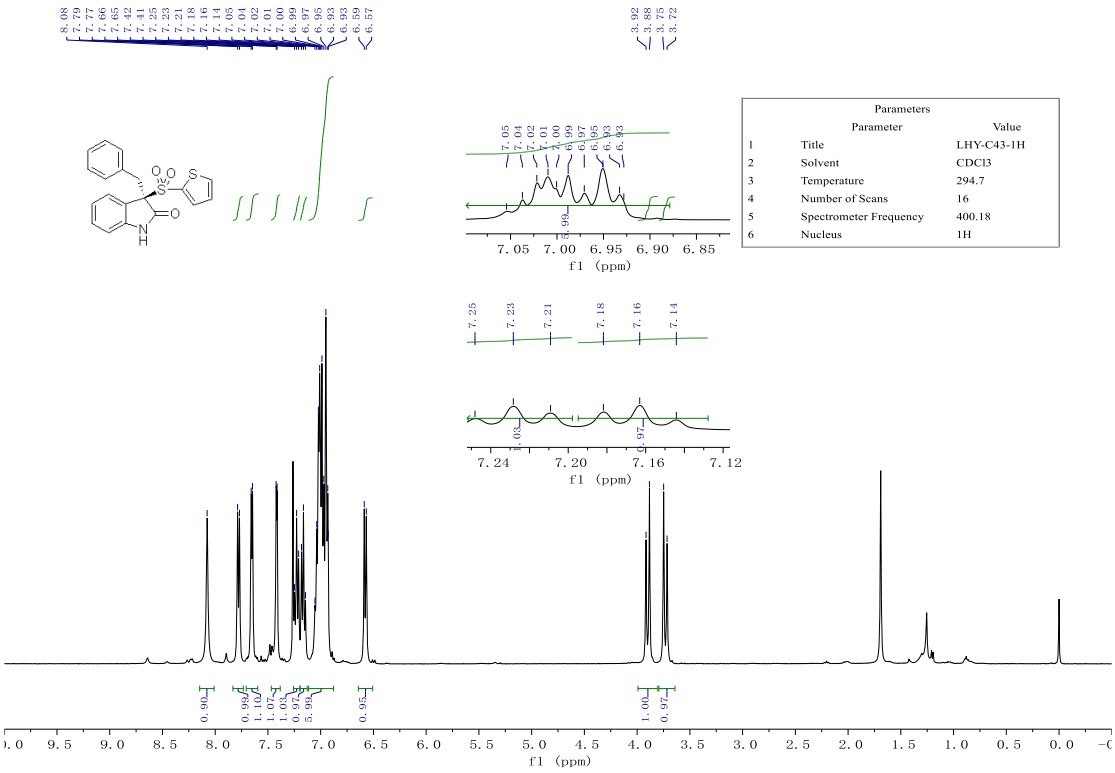


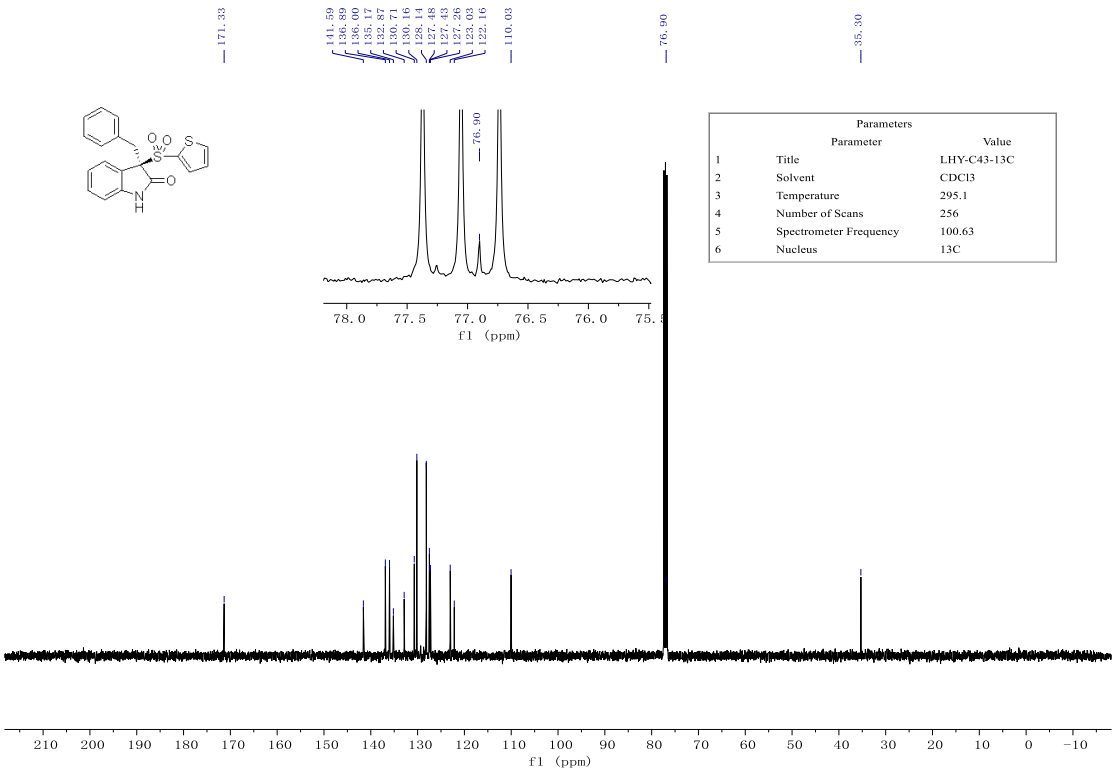
Parameters		
	Parameter	Value
1	Title	LHY-C41-1H
2	Solvent	CDCl3
3	Temperature	294.7
4	Number of Scans	16
5	Spectrometer Frequency	400.18
6	Nucleus	1H

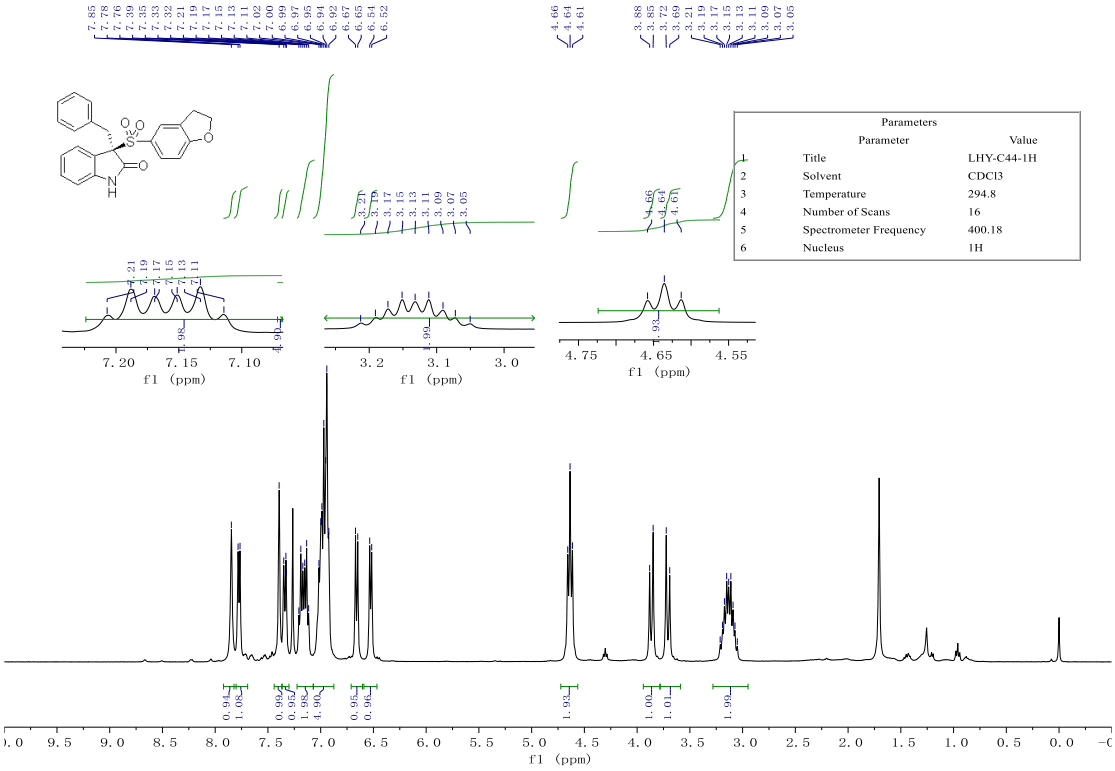

171.48
141.39
138.68
135.07
134.66
133.00
130.70
130.44
130.15
128.28
128.08
127.46
127.38
127.18
122.85
122.21
109.83
76.48
34.86
21.10
Parameters
Parameter Value
1 Title LHY-C41-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

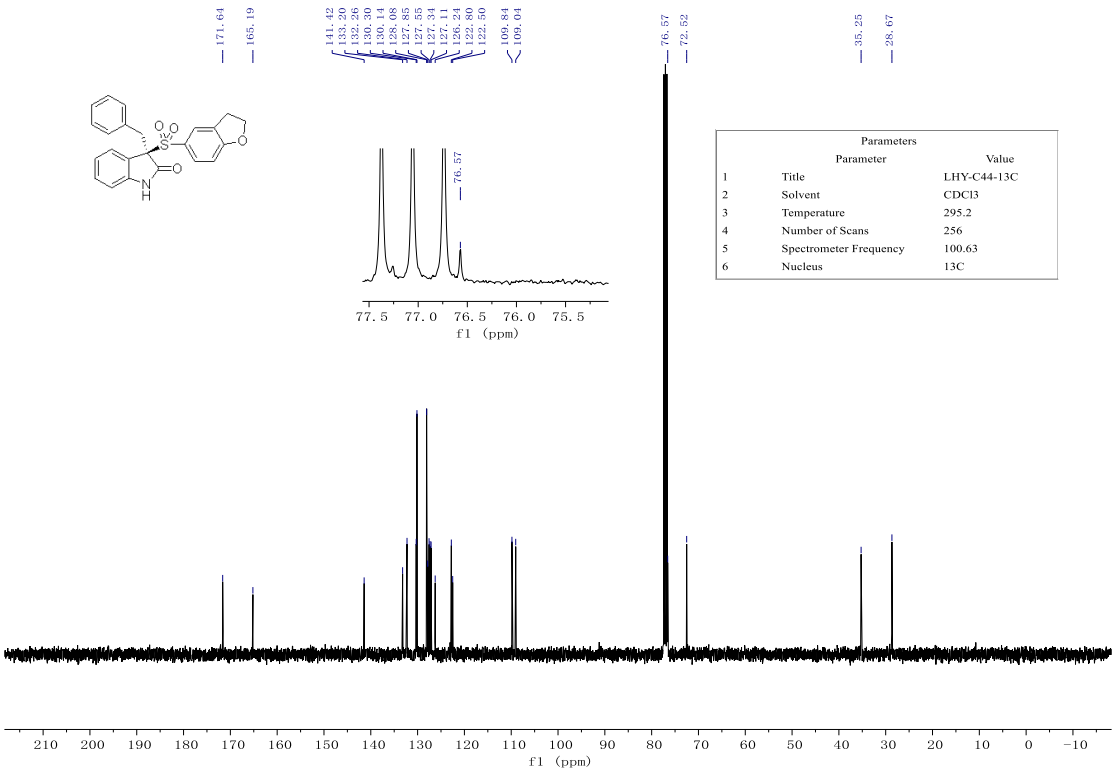

Parameters
Parameter Value
1 Title LHY-C42-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

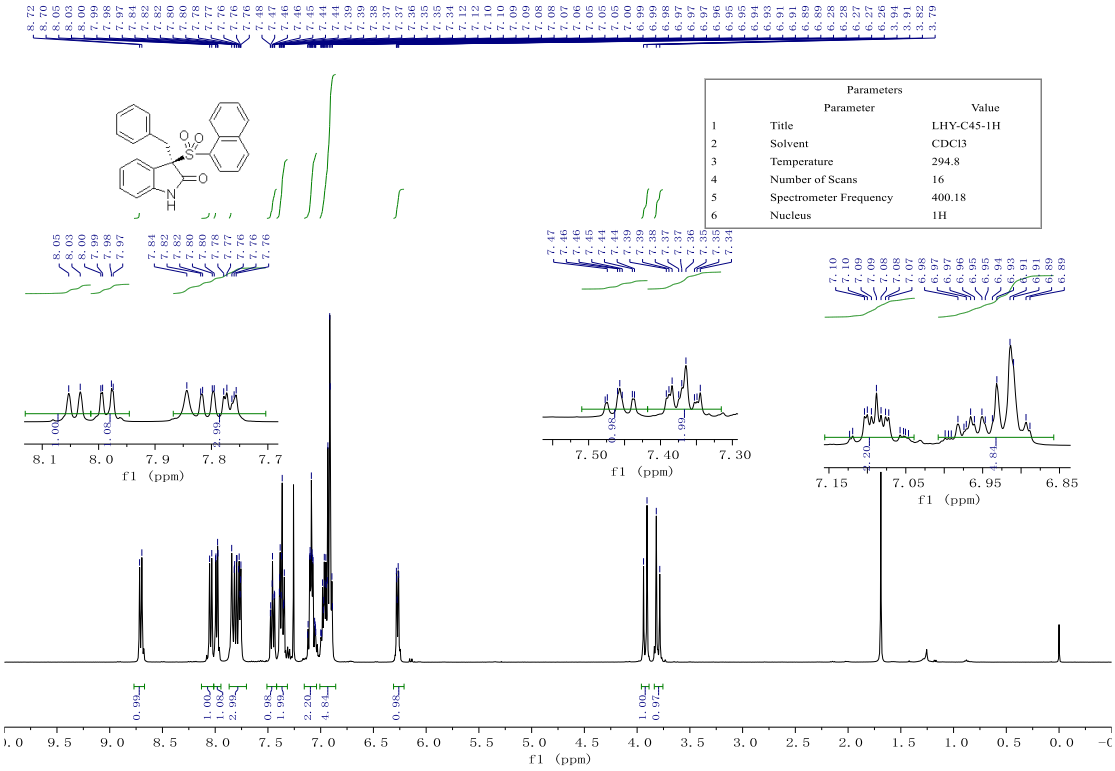

171.45
146.99
141.44
138.81
133.46
132.96
130.89
130.54
130.18
129.08
128.81
128.13
127.41
127.39
127.21
126.97
122.91
122.10
110.03
76.53
35.19
Parameters
Parameter Value
1 Title LHY-C42-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

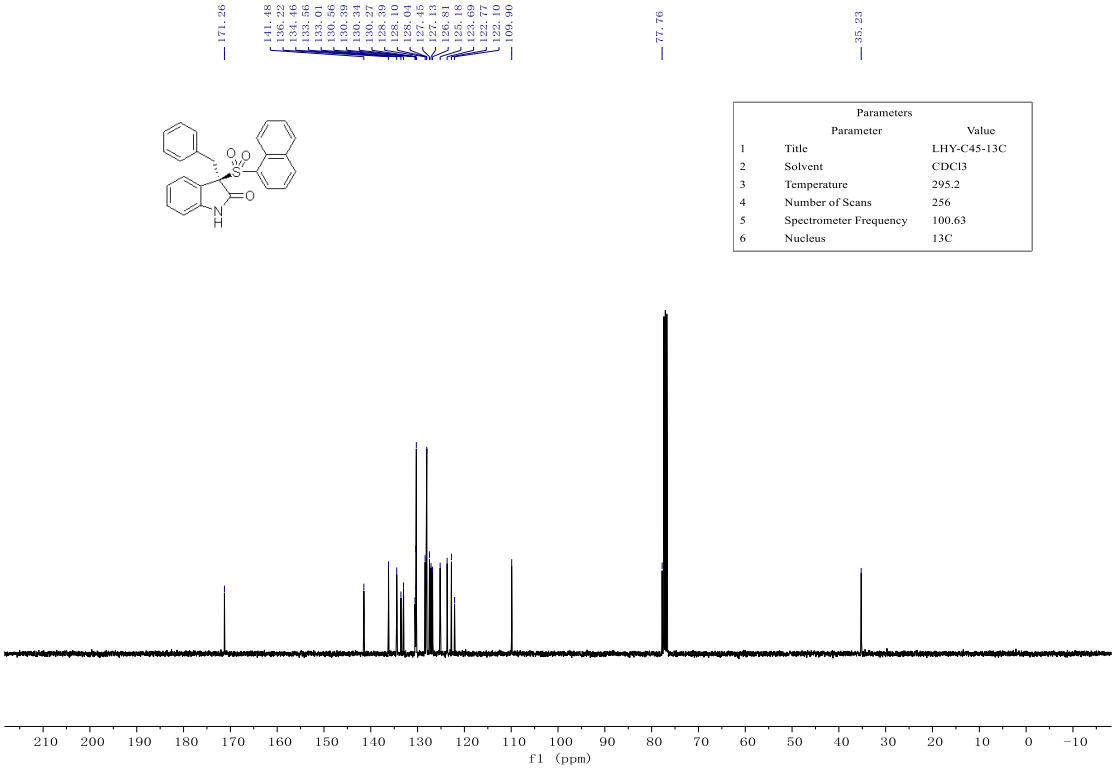

8.08
7.79
7.77
7.66
7.65
7.42
7.41
7.25
7.23
7.21
7.18
7.16
7.14
7.05
7.04
7.02
7.01
7.00
6.99
6.97
6.95
6.93
6.93
6.59
6.57
3.92
3.88
3.75
3.72
Parameters
Parameter Value
1 Title LHY-C43-1H
2 Solvent CDCl3
3 Temperature 294.7
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
f1 (ppm)
f1 (ppm)

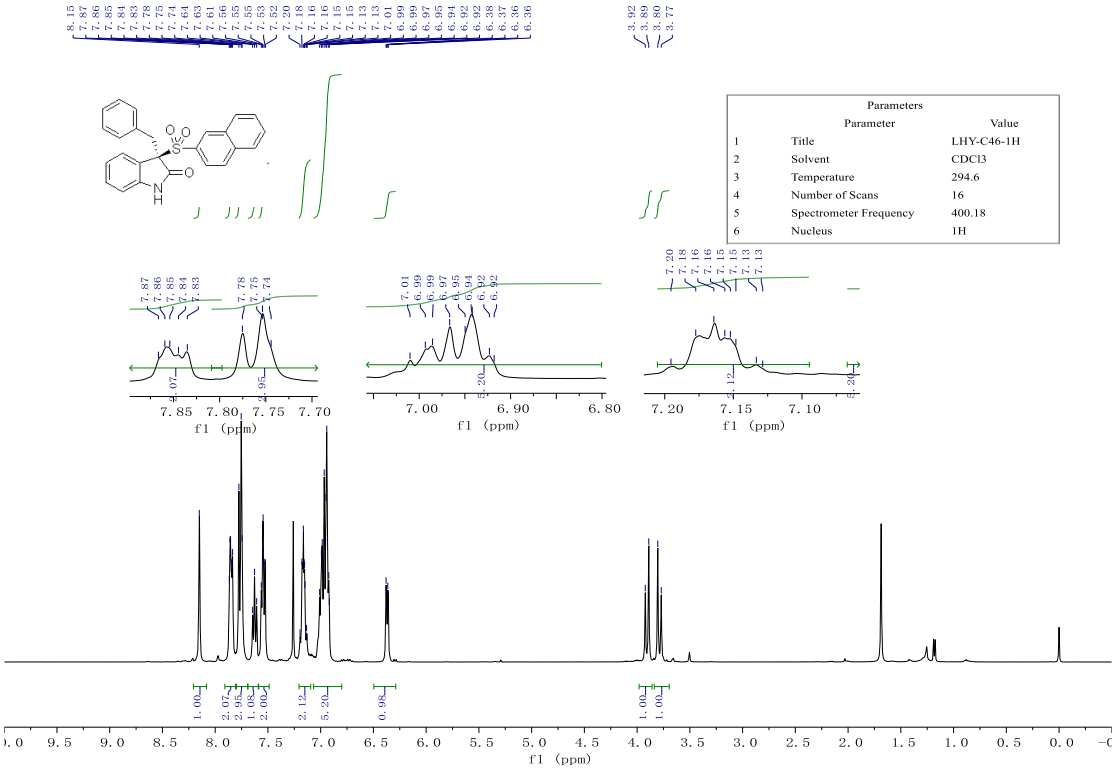

171.33
141.59
136.89
136.00
135.17
132.87
130.77
130.16
128.14
127.48
127.43
127.26
123.03
122.16
110.03
76.90
35.30
Parameters
Parameter Value
1 Title LHY-C43-13C
2 Solvent CDCl3
3 Temperature 295.1
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

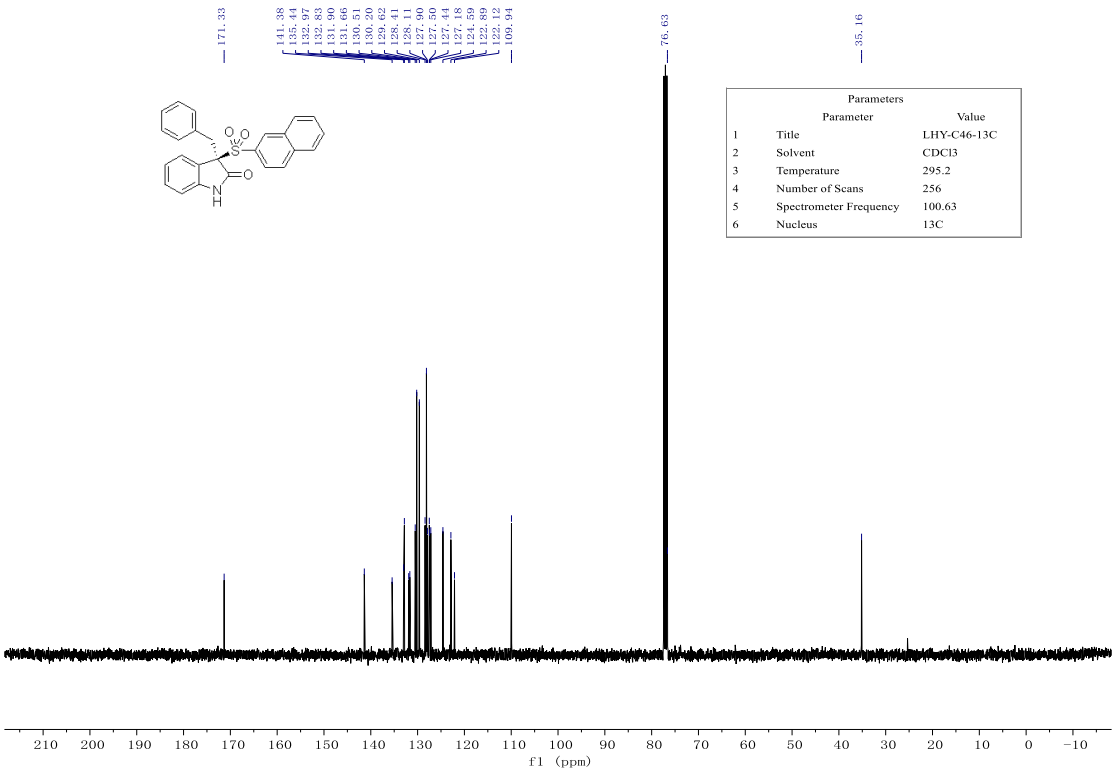

Parameters
Parameter Value
1 Title LHY-C44-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

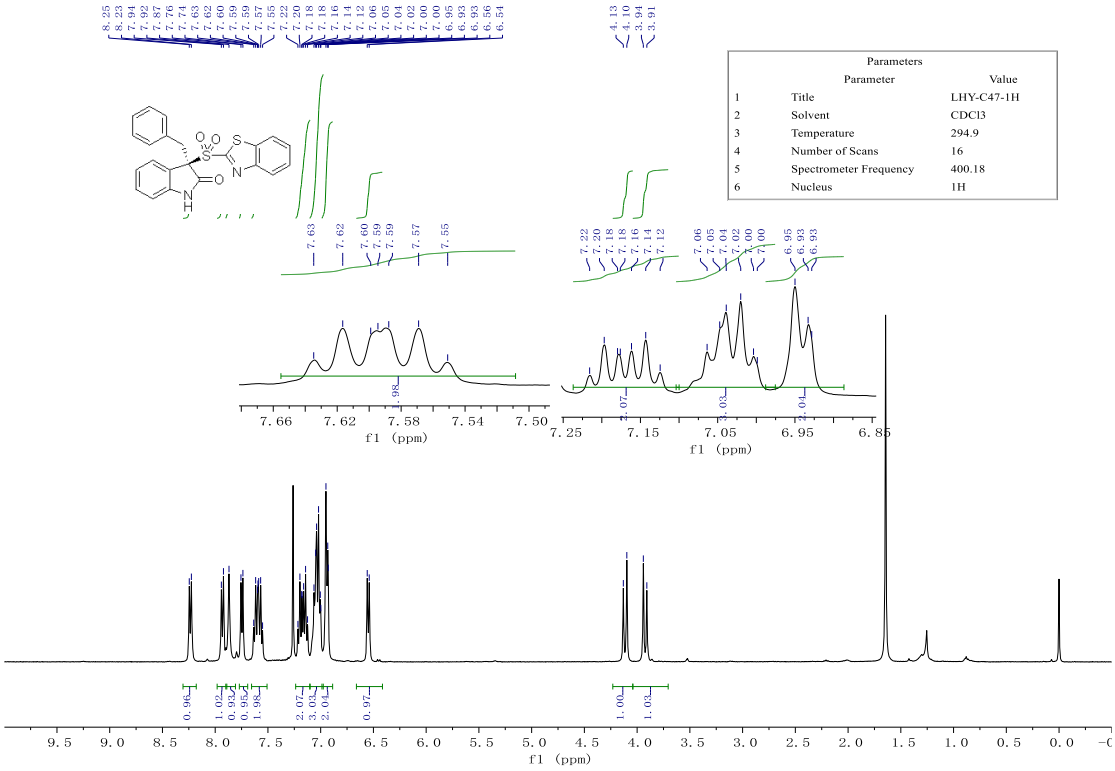

171.64
165.19
141.42
133.20
132.26
130.30
130.14
128.08
127.85
127.55
127.34
127.11
126.24
122.80
122.50
109.84
109.04
76.57
72.52
35.25
28.67
Parameters
Parameter Value
1 Title LHY-C44-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
77.5 77.0 76.5 76.0 75.5
f1 (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

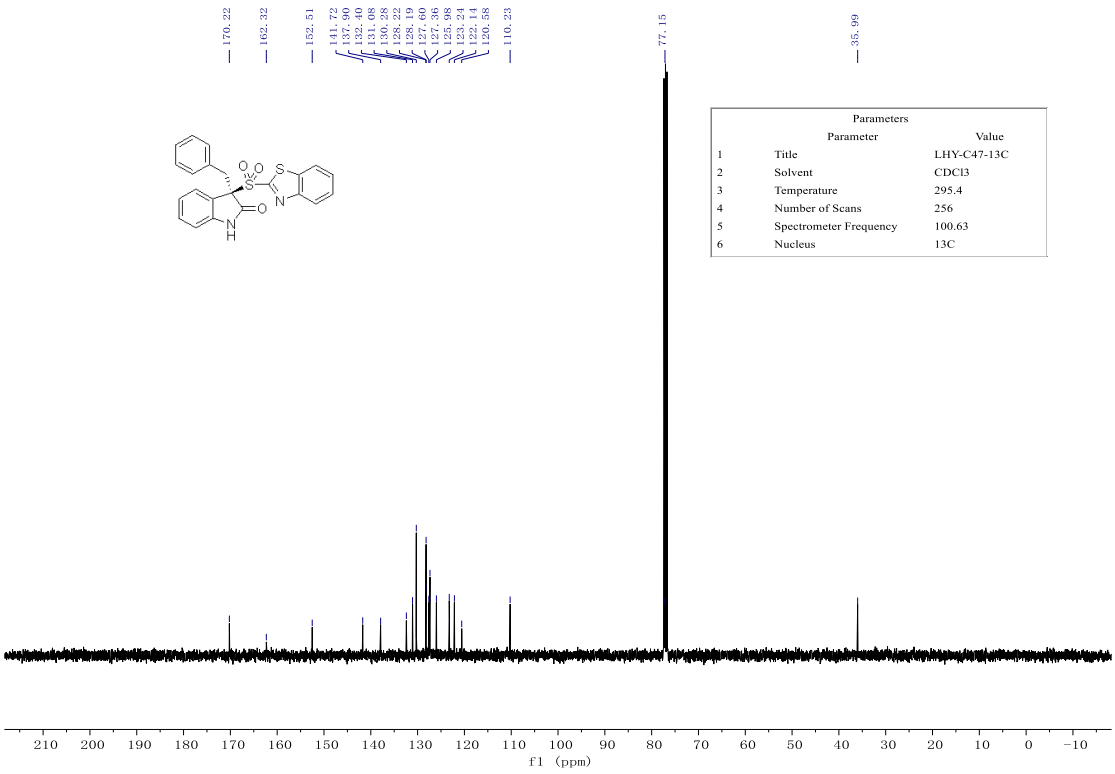

Parameters
Parameter Value
1 Title LHY-C45-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
8.1 8.0 7.9 7.8 7.7
f1 (ppm)
7.50 7.40 7.30
f1 (ppm)
7.15 7.05 6.95 6.85
f1 (ppm)
0.98 1.00 1.08 2.99 0.98 1.90 2.20 4.84 0.98 1.00 0.97
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

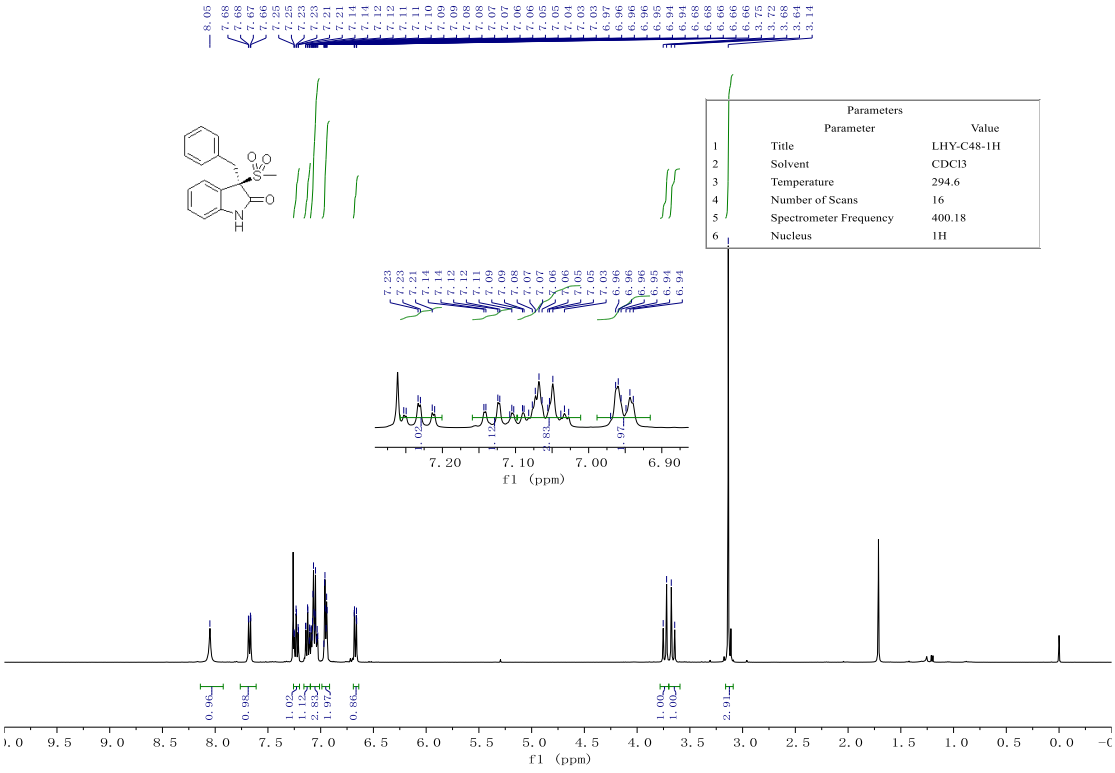

171.26
141.48
136.22
134.46
133.56
133.01
130.56
130.39
130.34
130.27
128.39
128.10
128.04
127.45
127.13
126.81
125.18
123.69
122.77
122.10
109.90
77.76
35.23
Parameters
Parameter Value
1 Title LHY-C45-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

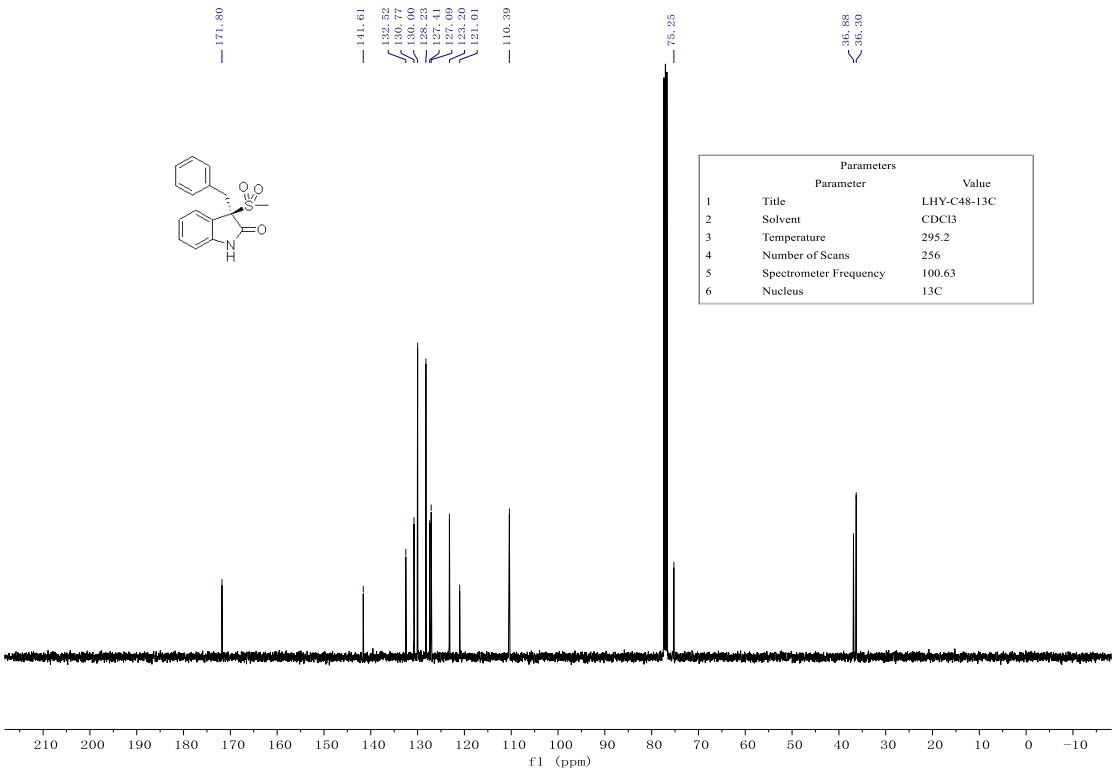

8.15
7.87
7.86
7.85
7.84
7.83
7.78
7.75
7.74
7.64
7.63
7.61
7.56
7.55
7.55
7.53
7.52
7.20
7.18
7.16
7.16
7.15
7.15
7.13
7.01
6.99
6.99
6.97
6.95
6.94
6.92
6.92
6.38
6.37
6.36
6.36
3.92
3.89
3.80
3.77
Parameters
Parameter Value
1 Title LHY-C46-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

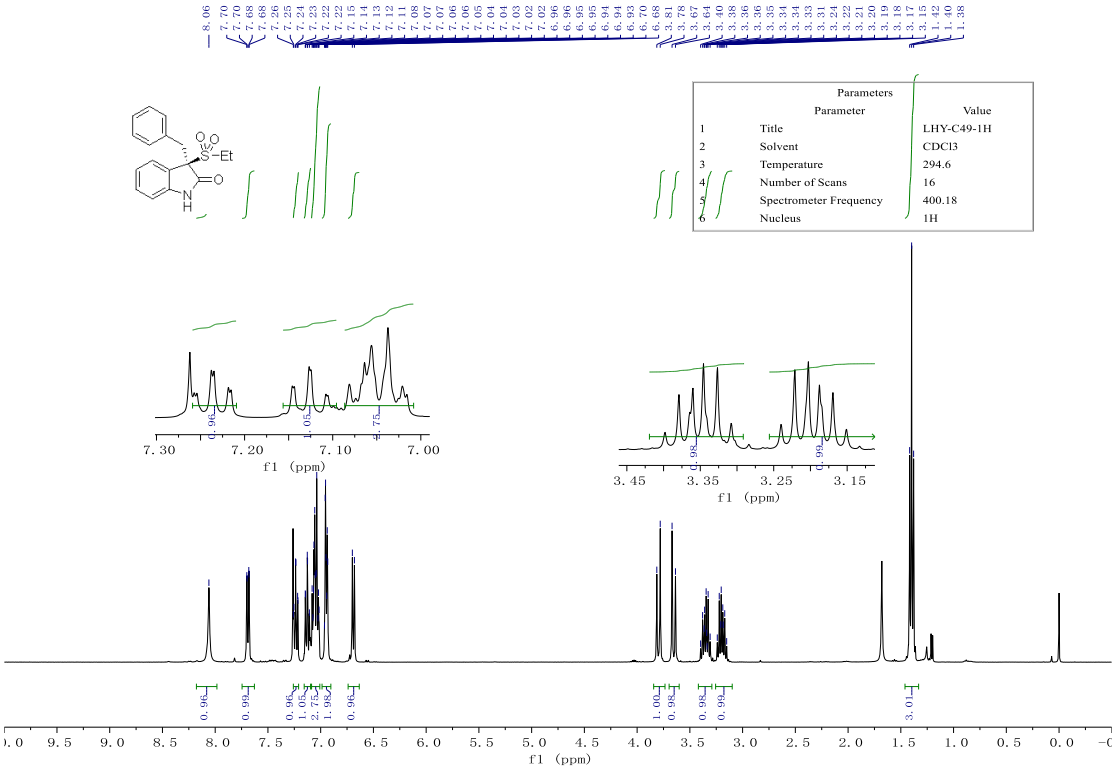

171.33
141.38
135.44
132.97
132.83
131.90
131.66
130.51
130.20
129.62
128.41
128.11
127.90
127.50
127.44
127.18
124.59
122.89
122.12
109.94
76.63
35.16
Parameters
Parameter Value
1 Title LHY-C46-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

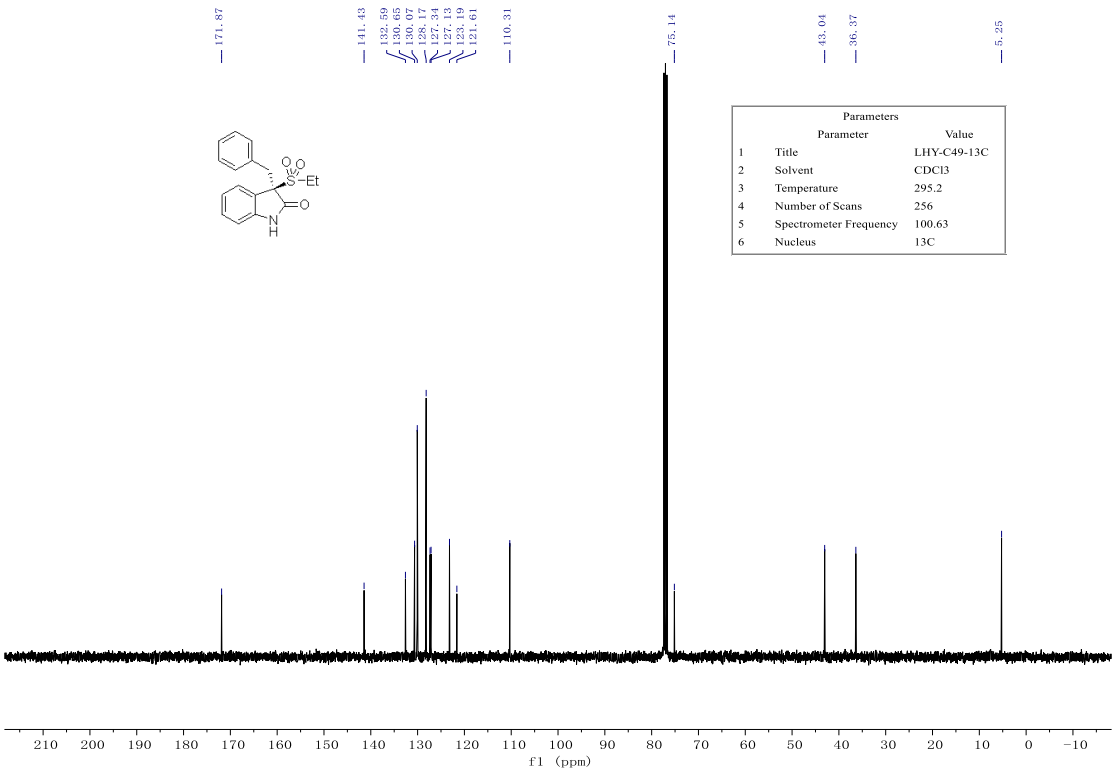

8.25
8.23
7.94
7.92
7.67
7.76
7.74
7.63
7.62
7.60
7.59
7.59
7.57
7.55
7.22
7.20
7.18
7.16
7.14
7.12
7.06
7.05
7.04
7.02
7.00
6.95
6.93
6.93
6.56
6.54
4.13
4.10
3.94
3.91
Parameters
Parameter Value
1 Title LHY-C47-1H
2 Solvent CDCl3
3 Temperature 294.9
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)
0.96
1.02
0.93
0.95
1.98
2.07
3.03
2.04
0.97
1.00
1.03

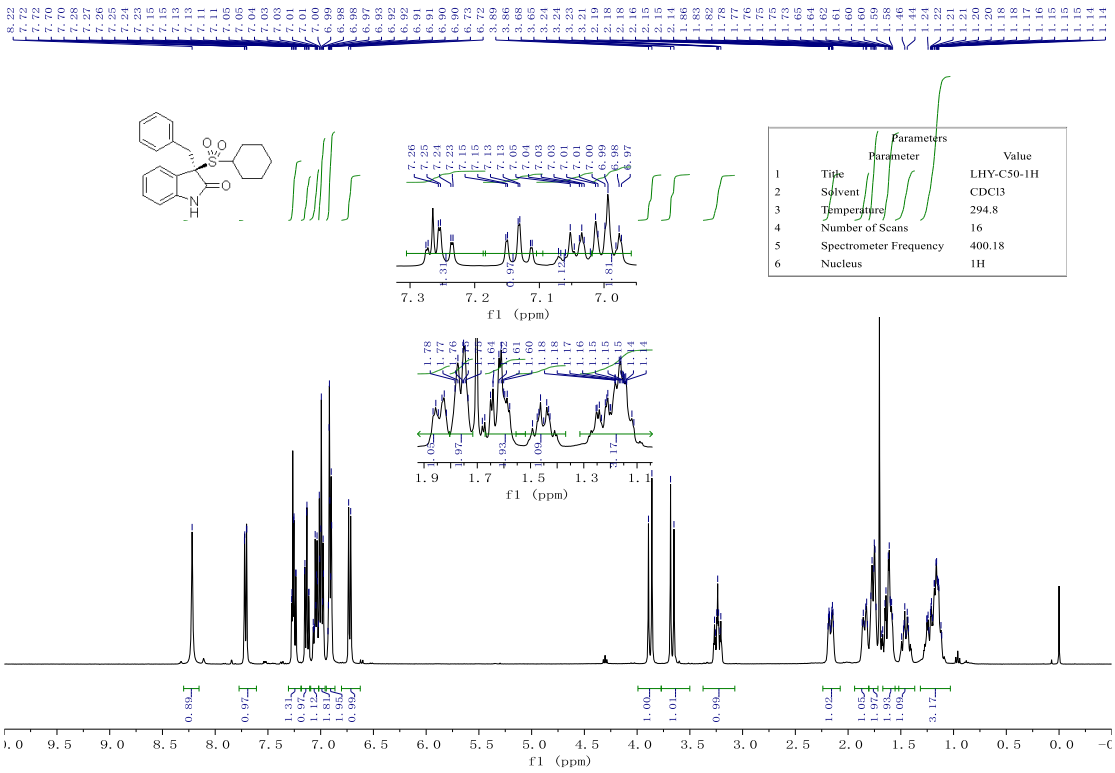

170.22
162.32
152.51
141.72
137.90
132.40
131.08
130.28
128.22
128.19
127.60
127.36
125.98
123.24
122.14
120.58
110.23
77.15
35.99
Parameters
Parameter Value
1 Title LHY-C47-13C
2 Solvent CDCl3
3 Temperature 295.4
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

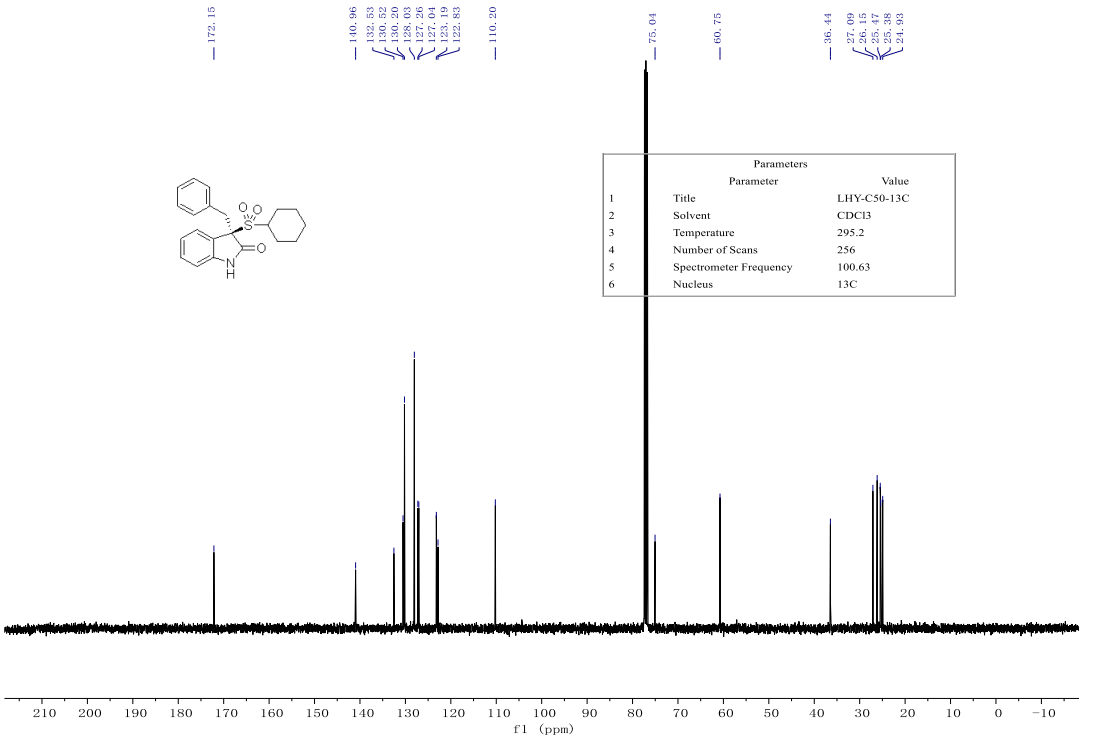

8.05
7.68
7.67
7.66
7.25
7.23
7.21
7.14
7.12
7.11
7.10
7.09
7.08
7.07
7.06
7.05
7.04
7.03
6.97
6.96
6.95
6.94
6.68
6.66
3.75
3.72
3.68
3.64
3.14
Parameters
Parameter Value
1 Title LHY-C48-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
0.96
0.98
1.02
1.12
2.83
1.97
0.86
1.00
1.00
2.91
f1 (ppm)

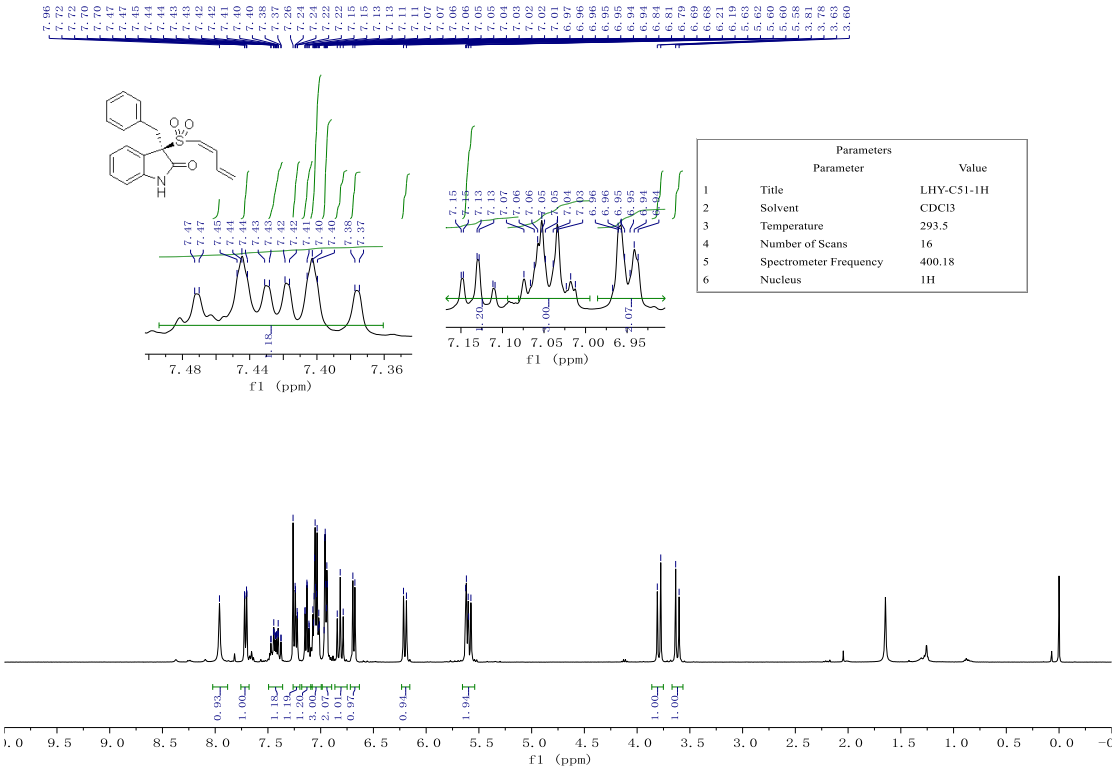

171.80
141.61
132.52
130.77
130.00
128.23
127.41
127.09
123.29
121.01
110.39
75.25
36.88
36.30
Parameters
Parameter Value
1 Title LHY-C48-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

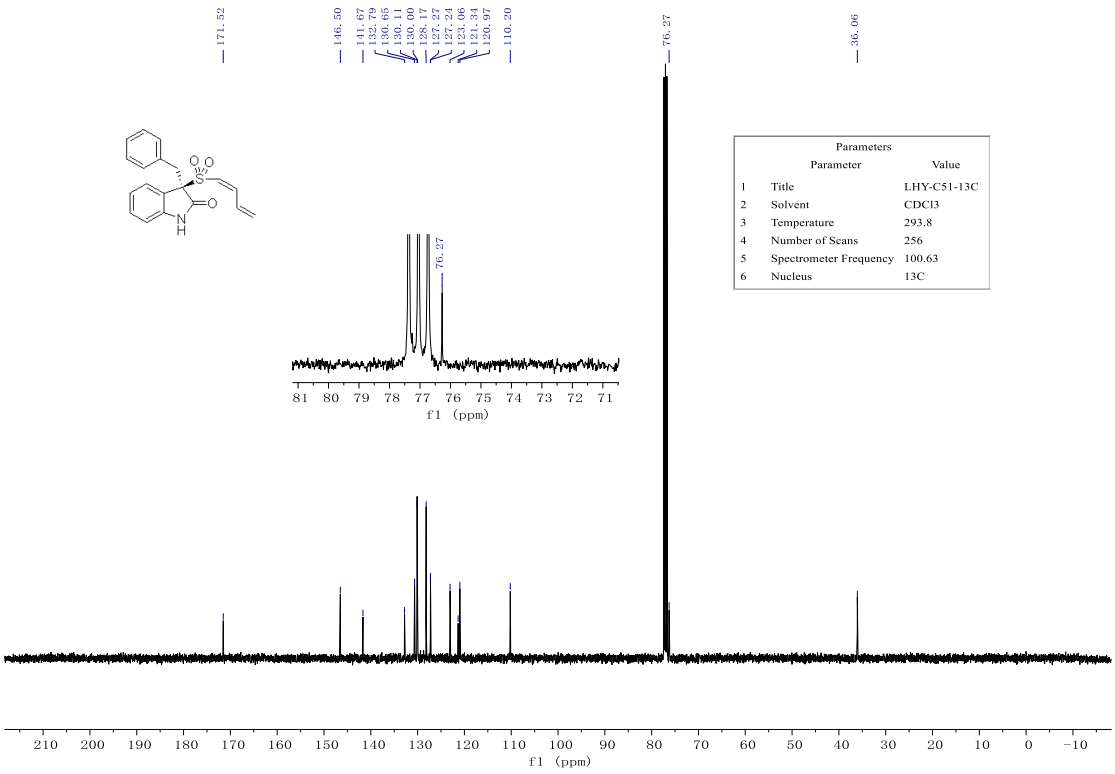

Parameters
Parameter Value
1 Title LHY-C49-1H
2 Solvent CDCl3
3 Temperature 294.6
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

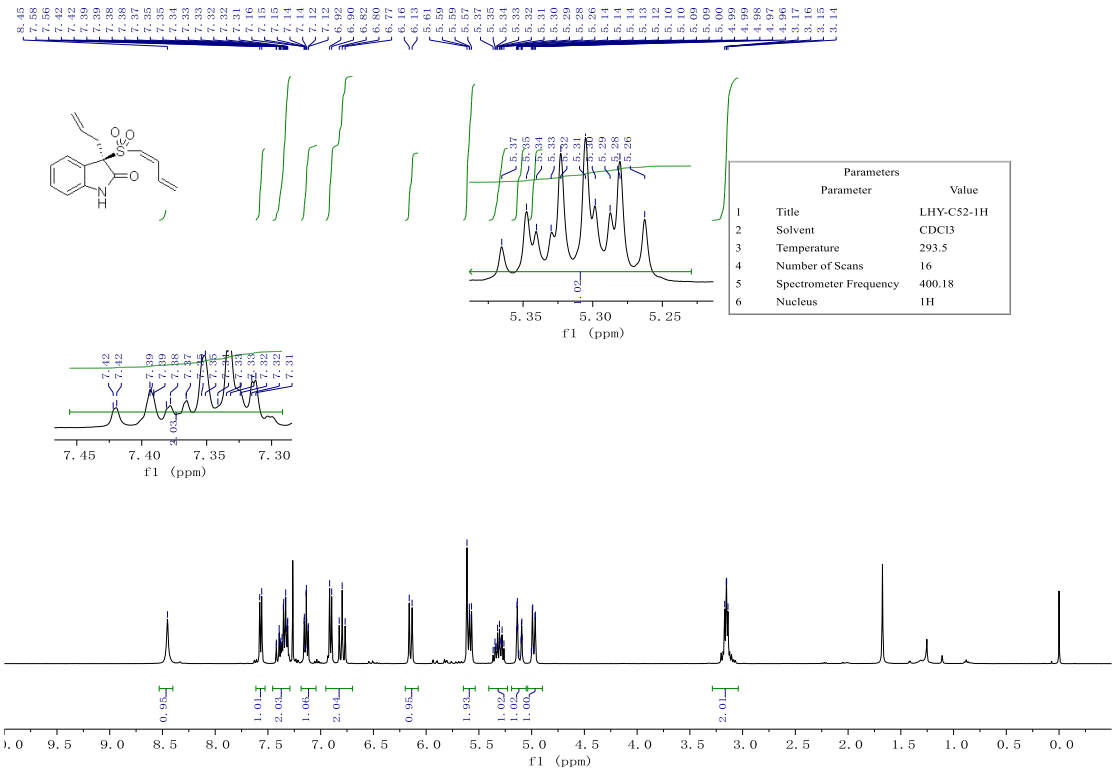

171.87
141.43
132.59
130.65
130.07
128.17
127.34
127.13
123.19
121.61
110.31
75.14
43.04
36.37
5.25
Parameters
Parameter Value
1 Title LHY-C49-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

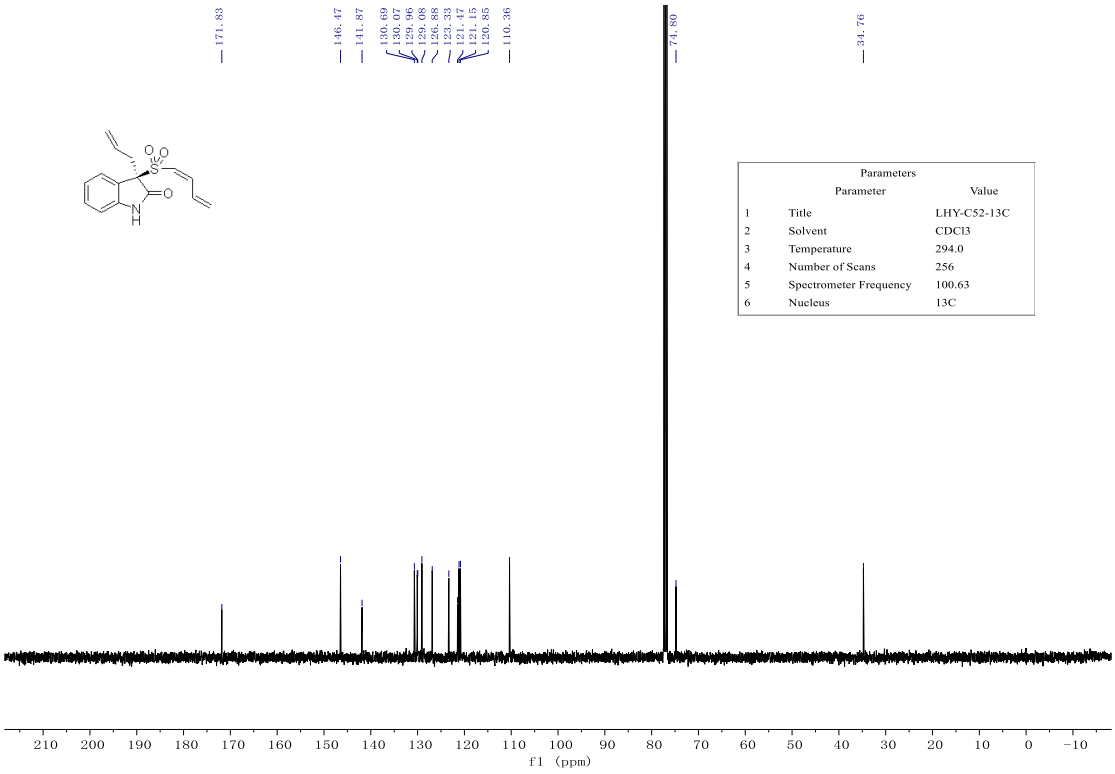

Parameters
Parameter Value
1 Title LHY-C50-1H
2 Solvent CDCl3
3 Temperature 294.8
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

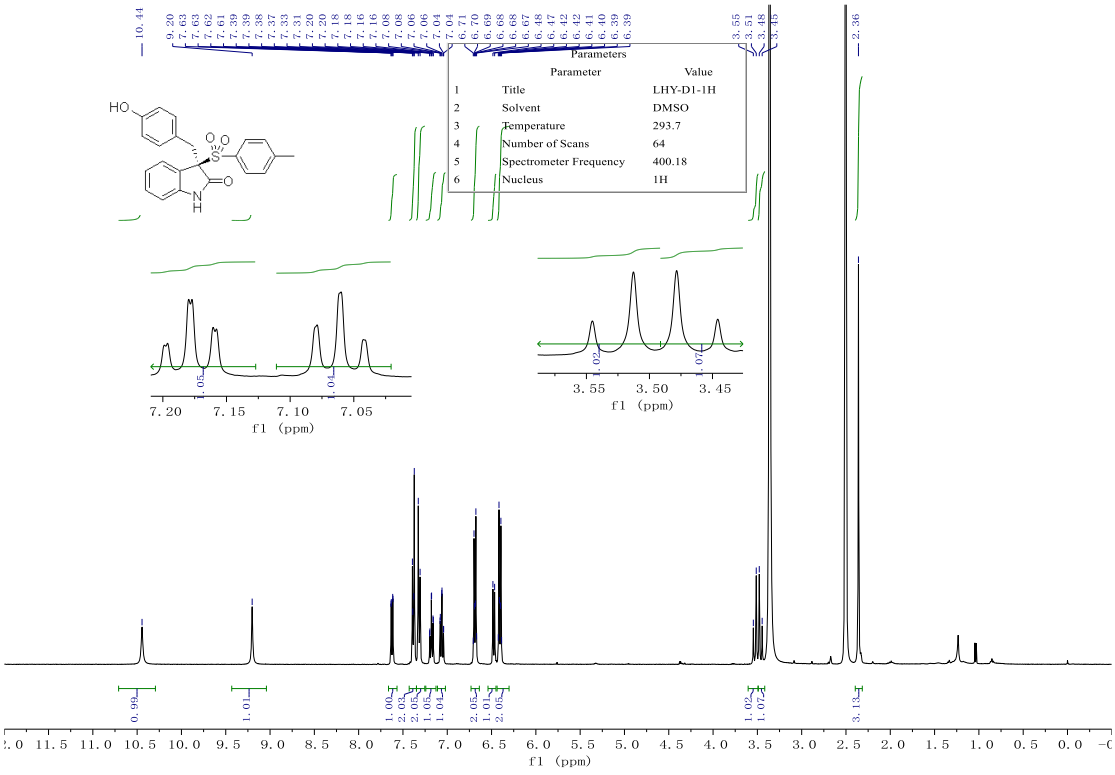

172.15
140.96
132.53
130.52
130.09
129.63
127.36
127.04
123.19
122.83
110.20
75.04
60.75
36.44
27.09
26.15
25.47
25.38
24.93
Parameters
Parameter Value
1 Title LHY-C50-13C
2 Solvent CDCl3
3 Temperature 295.2
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

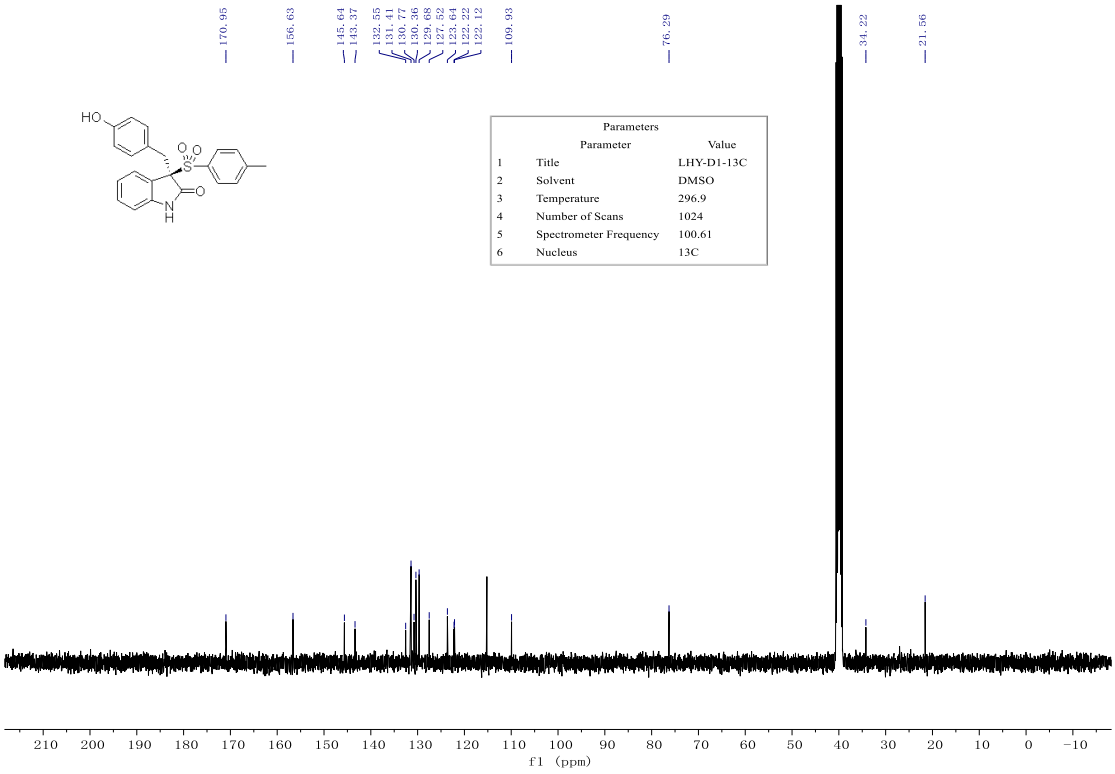

Parameters
Parameter Value
1 Title LHY-C51-1H
2 Solvent CDCl3
3 Temperature 293.5
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)


171.52
146.50
141.67
132.79
130.65
130.11
130.00
128.17
127.27
127.24
123.06
121.34
120.97
110.20
76.27
36.06
Parameters
Parameter Value
1 Title LHY-C51-13C
2 Solvent CDCl3
3 Temperature 293.8
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

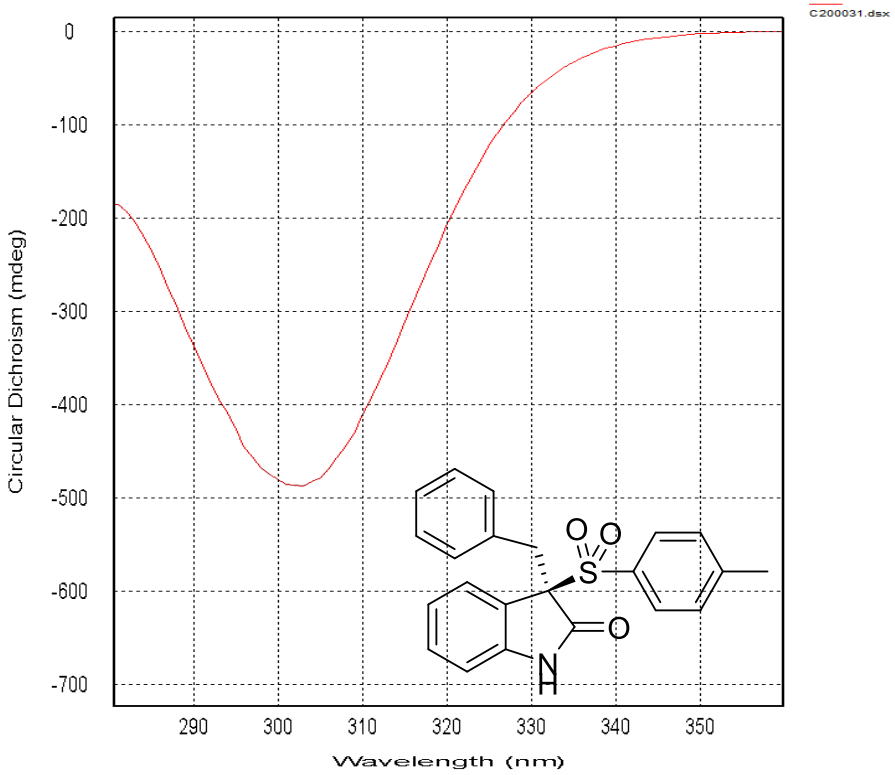

Parameters
Parameter Value
1 Title LHY-C52-1H
2 Solvent CDCl3
3 Temperature 293.5
4 Number of Scans 16
5 Spectrometer Frequency 400.18
6 Nucleus 1H
f1 (ppm)

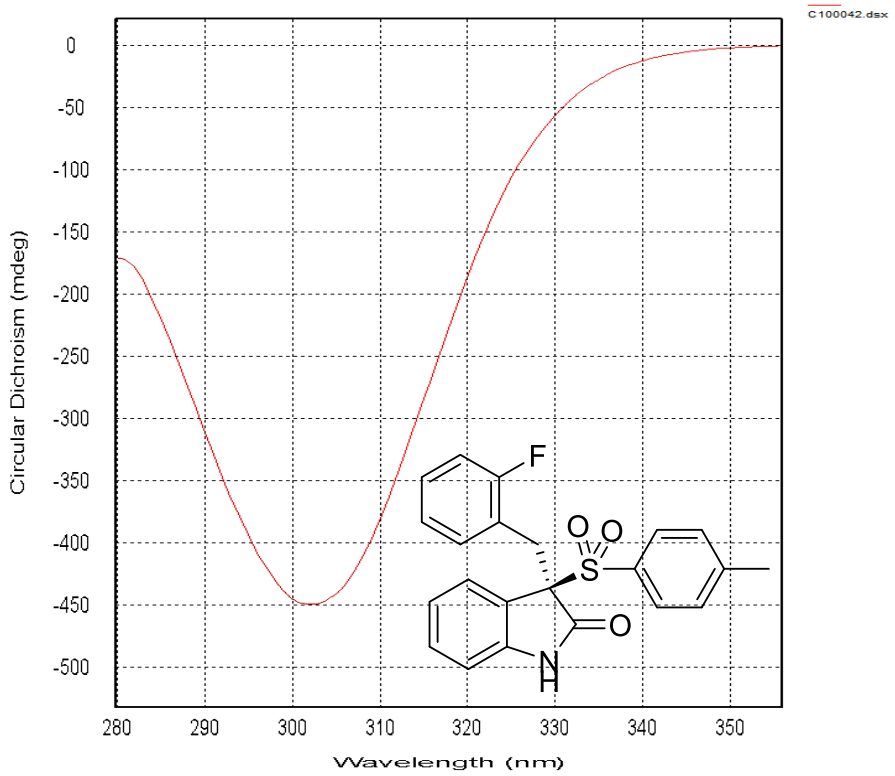

171.83
146.47
141.87
130.69
130.07
129.96
129.08
126.88
123.33
121.47
121.15
120.85
110.36
74.80
34.76
Parameters
Parameter Value
1 Title LHY-C52-13C
2 Solvent CDCl3
3 Temperature 294.0
4 Number of Scans 256
5 Spectrometer Frequency 100.63
6 Nucleus 13C
f1 (ppm)

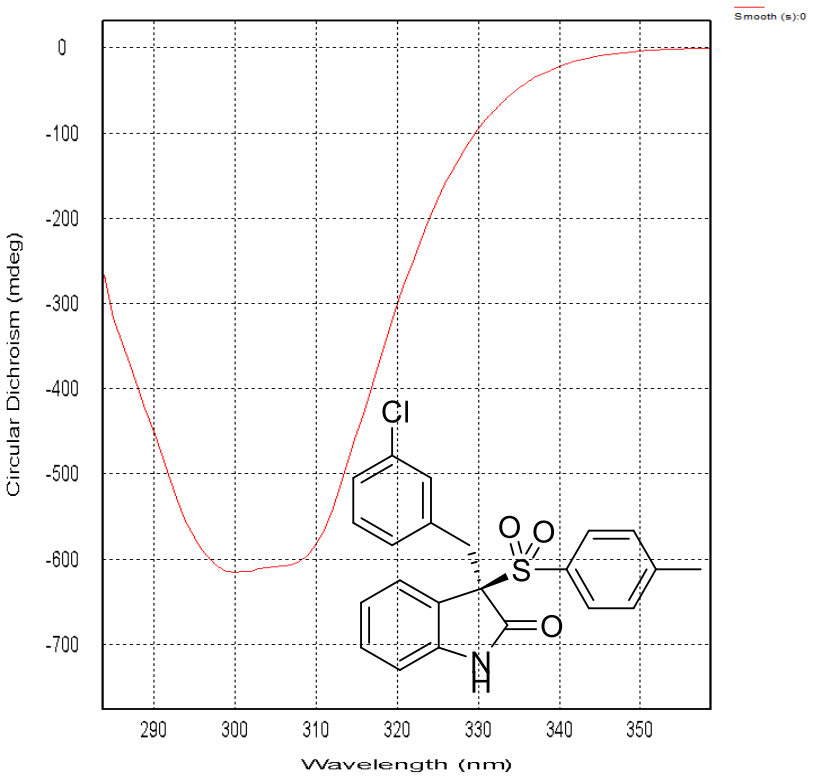

10.44
9.20
7.63
7.62
7.61
7.39
7.38
7.37
7.33
7.31
7.20
7.18
7.16
7.08
7.06
7.04
6.71
6.70
6.69
6.68
6.67
6.48
6.47
6.42
6.41
6.40
6.39
3.55
3.51
3.48
3.45
2.36
Parameters
Parameter Value
1 Title LHY-D1-1H
2 Solvent DMSO
3 Temperature 293.7
4 Number of Scans 64
5 Spectrometer Frequency 400.18
6 Nucleus 1H
HO
0.99
1.01
1.00
2.03
2.05
1.05
1.04
2.05
1.01
2.05
1.02
1.07
3.13
f1 (ppm)

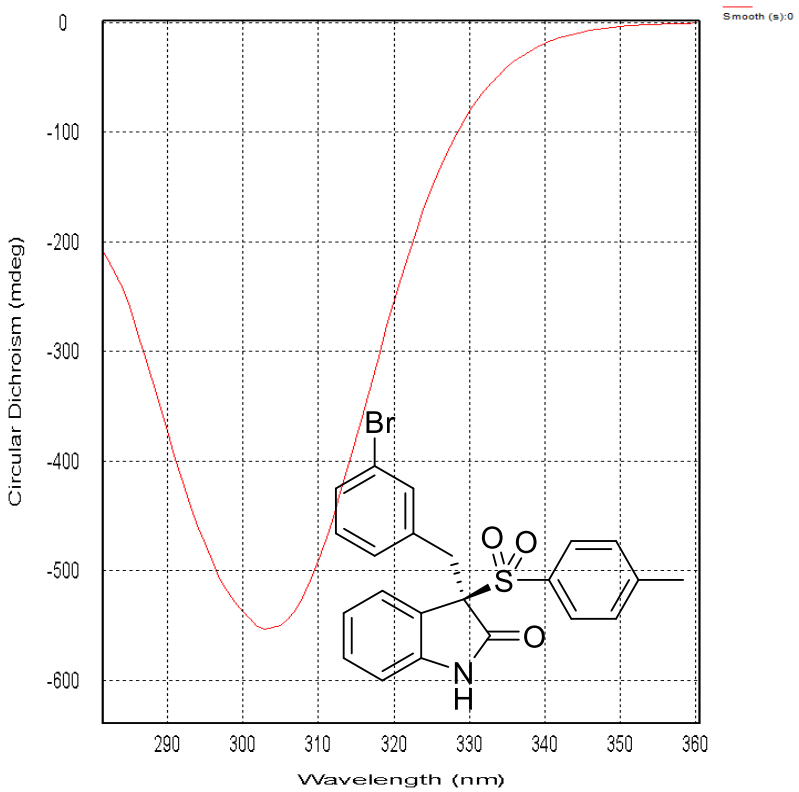

170.95
156.63
145.64
143.37
132.55
131.41
130.77
130.36
129.68
127.52
123.64
122.22
122.12
109.93
76.29
34.22
21.56
HO
O
O
S
O
N
H
Parameters
Parameter Value
1 Title LHY-D1-13C
2 Solvent DMSO
3 Temperature 296.9
4 Number of Scans 1024
5 Spectrometer Frequency 100.61
6 Nucleus 13C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

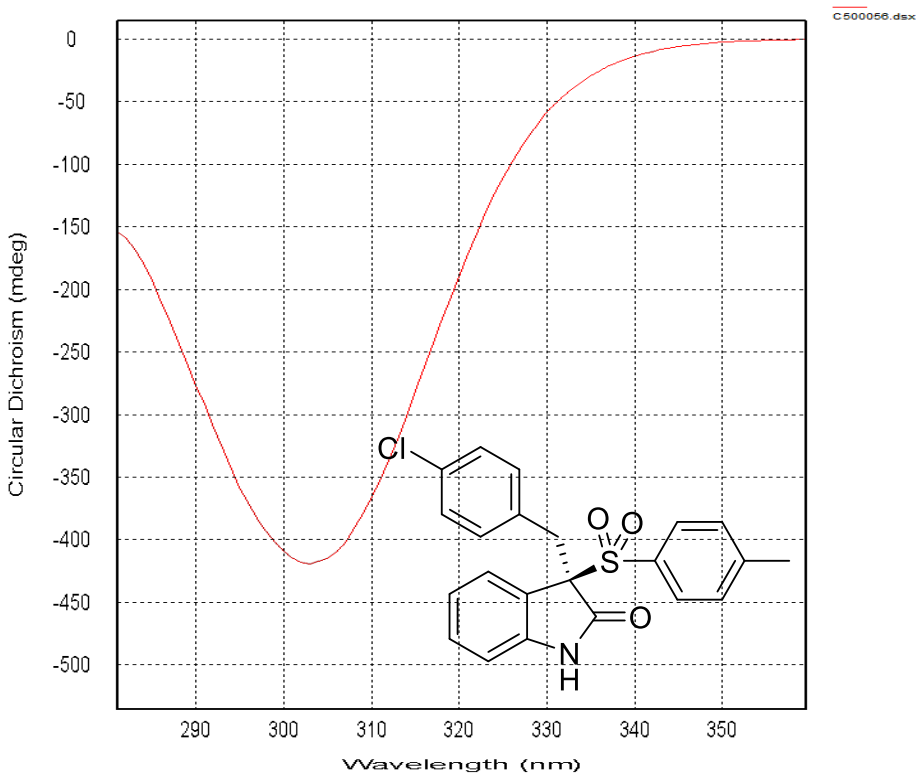
11 Copies of CD spectra for products

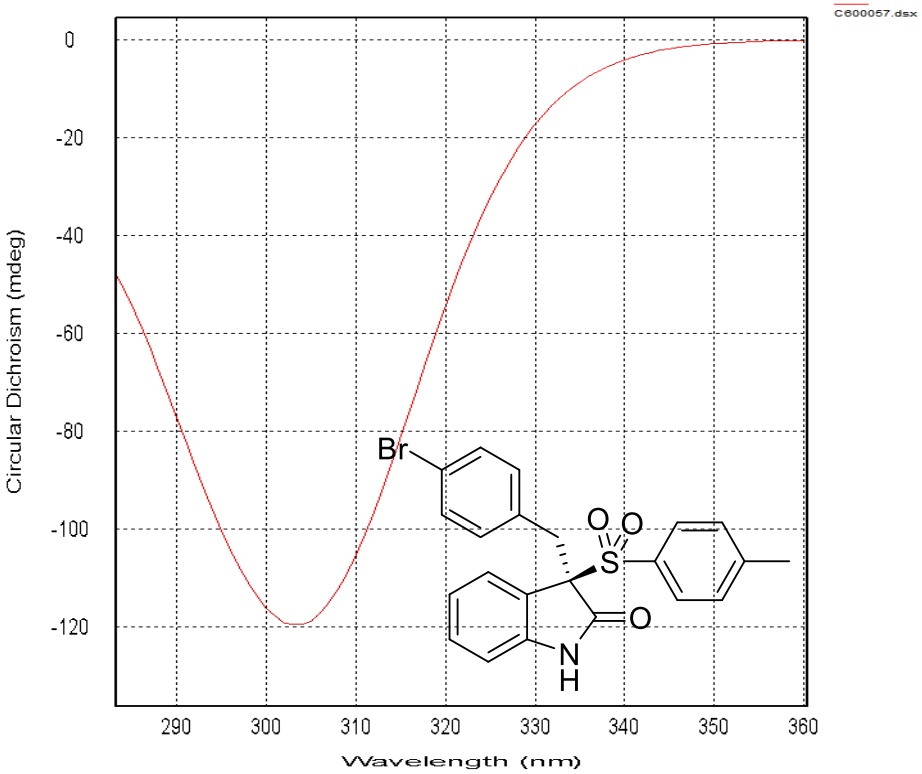


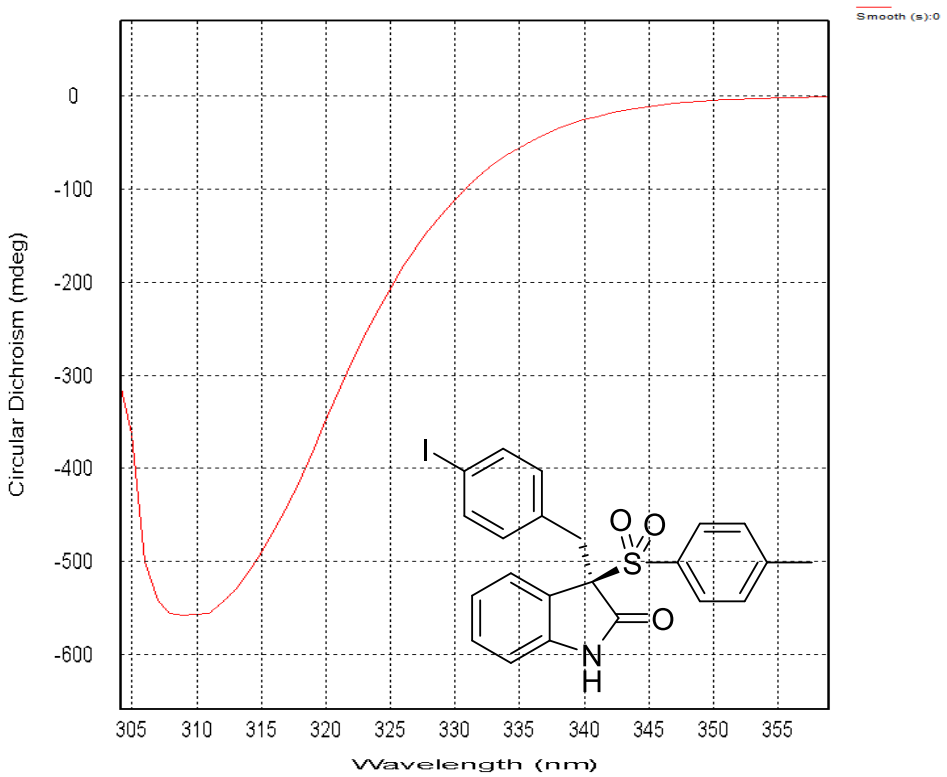


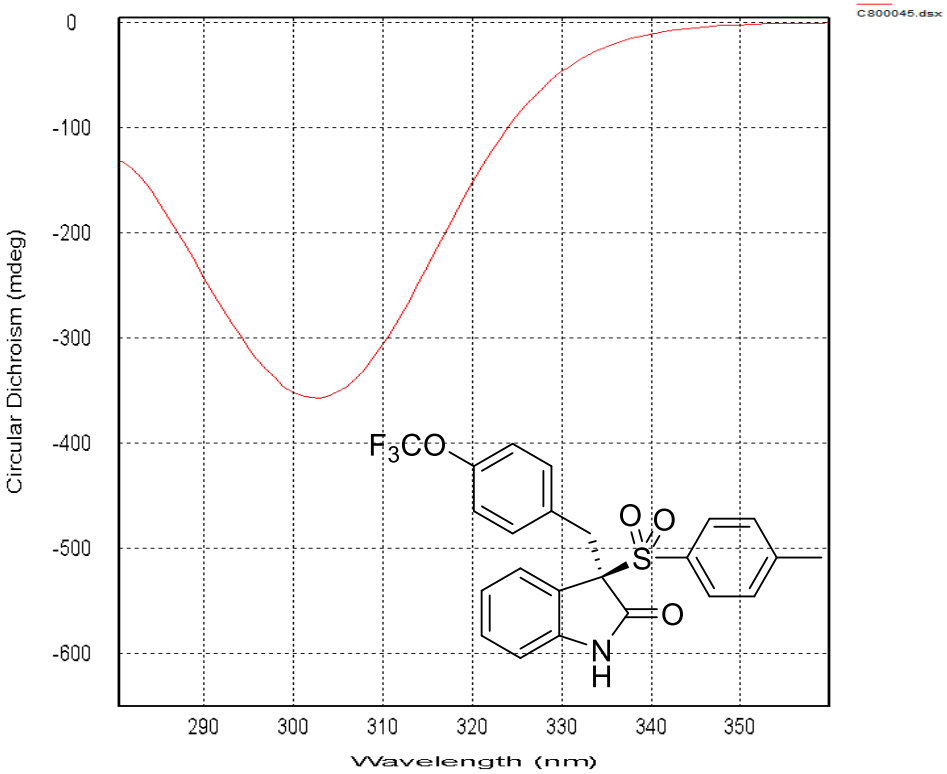

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
-700
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
Cl
O
O
S
O
N
H

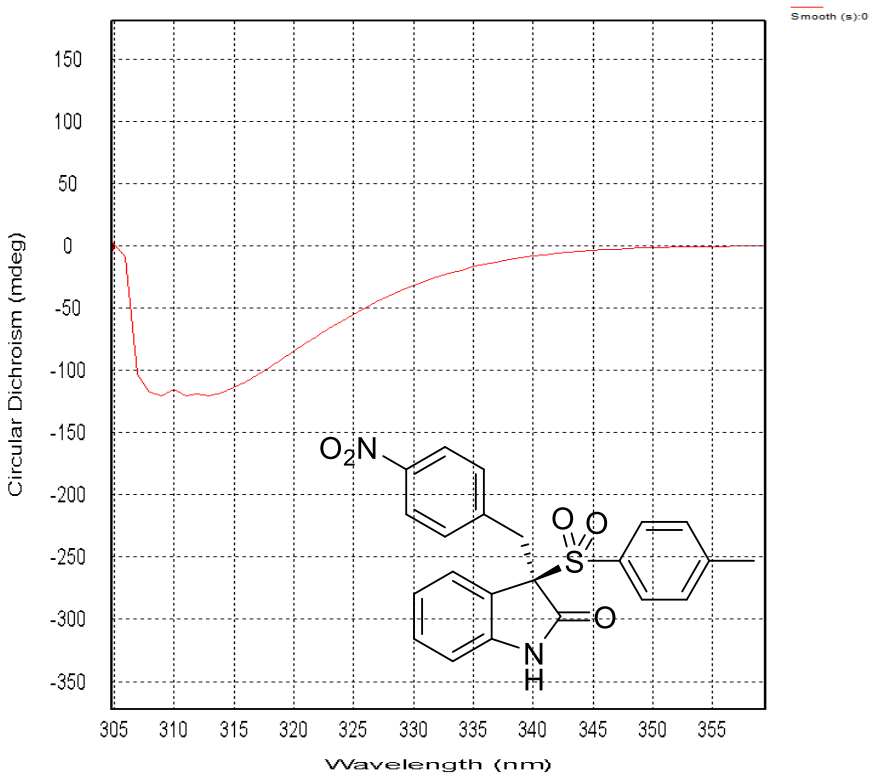

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
Br
O
O
S
O
N
H

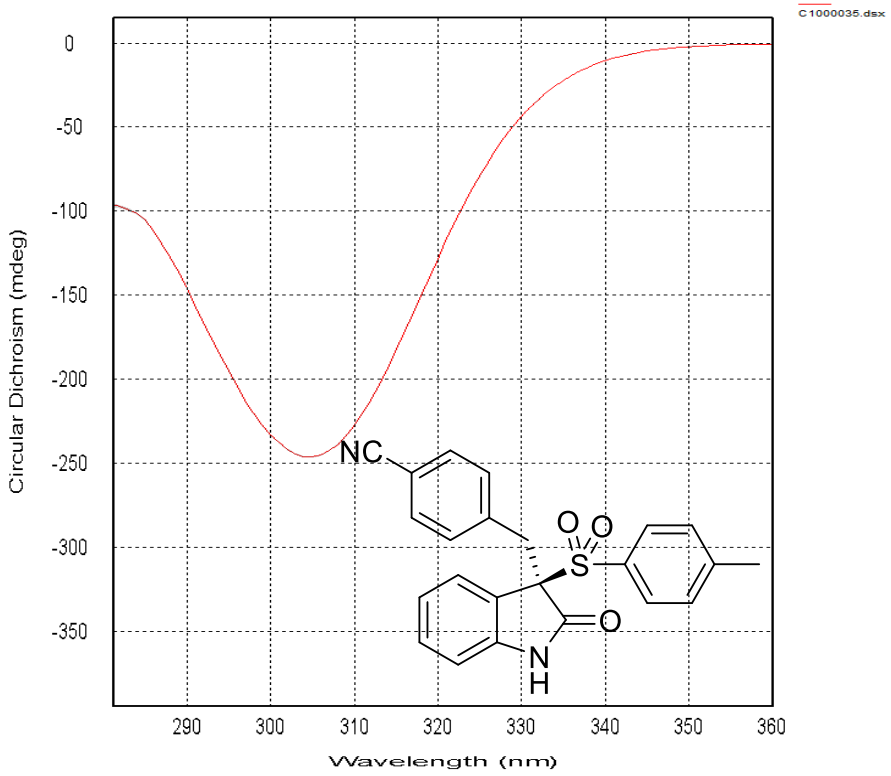

C500056.dsx
Circular Dichroism (mdeg)
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
-500
290
300
310
320
330
340
350
Wavelength (nm)
Cl
O
O
S
O
N
H

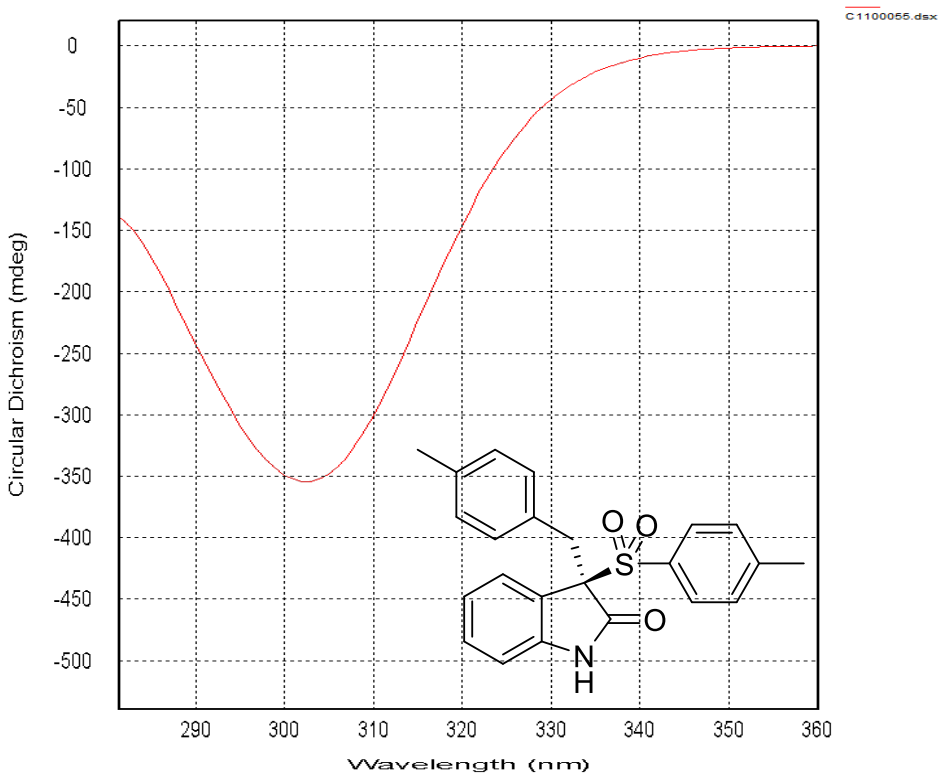

C600057.dsx
Circular Dichroism (mdeg)
0
-20
-40
-60
-80
-100
-120
290
300
310
320
330
340
350
360
Wavelength (nm)
Br
O
O
S
O
N
H

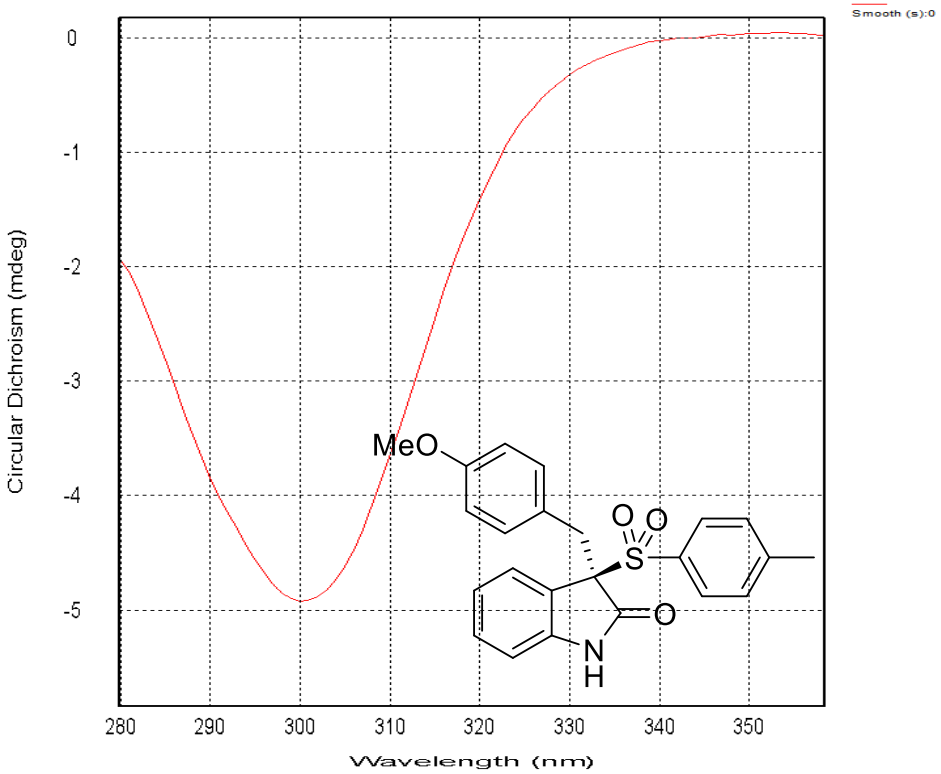

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
305
310
315
320
325
330
335
340
345
350
355
Wavelength (nm)
I
O
O
S
O
N
H

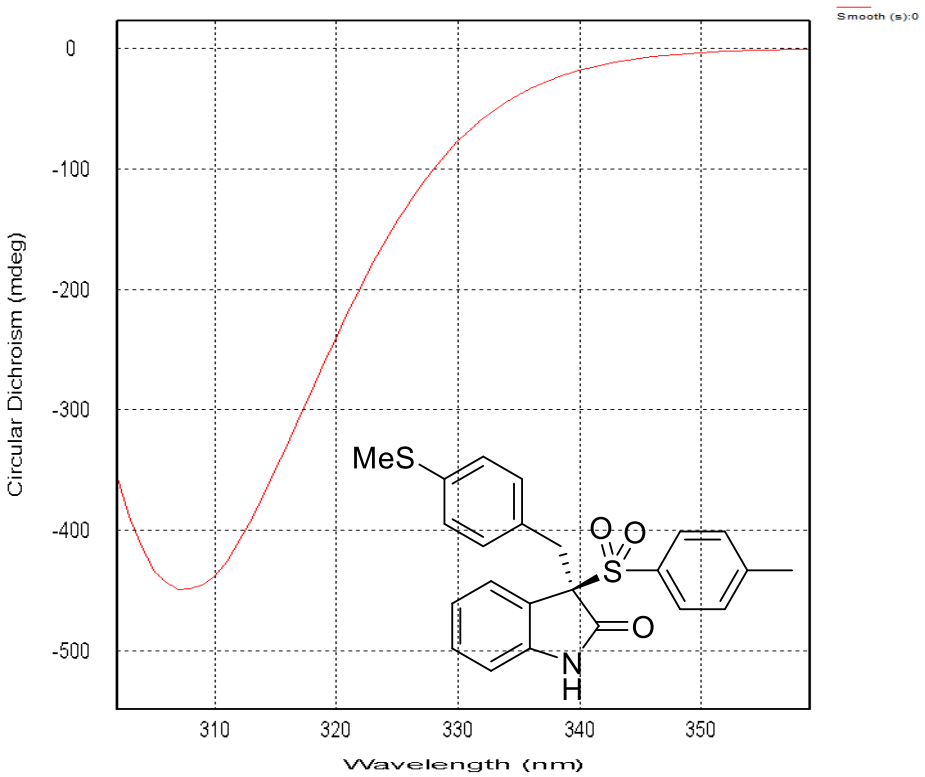

C800045.dsx
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
F3CO
O
O
S
O
N
H

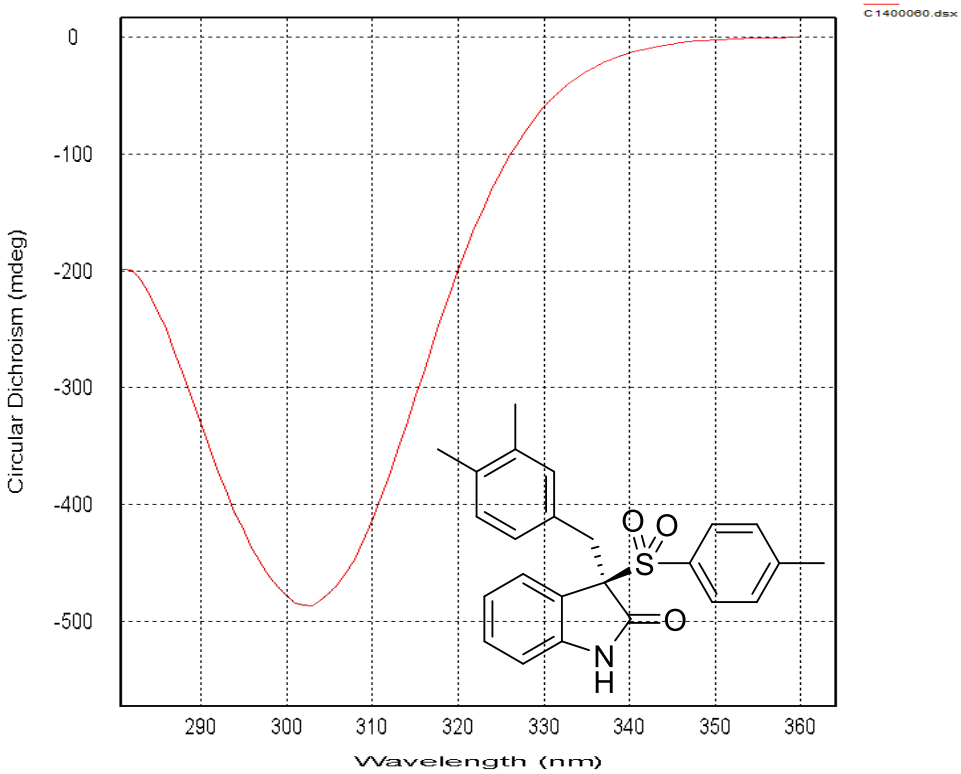

Smooth (s):0
Circular Dichroism (mdeg)
150
100
50
0
-50
-100
-150
-200
-250
-300
-350
305
310
315
320
325
330
335
340
345
350
355
Wavelength (nm)
O2N
O
O
S
O
N
H

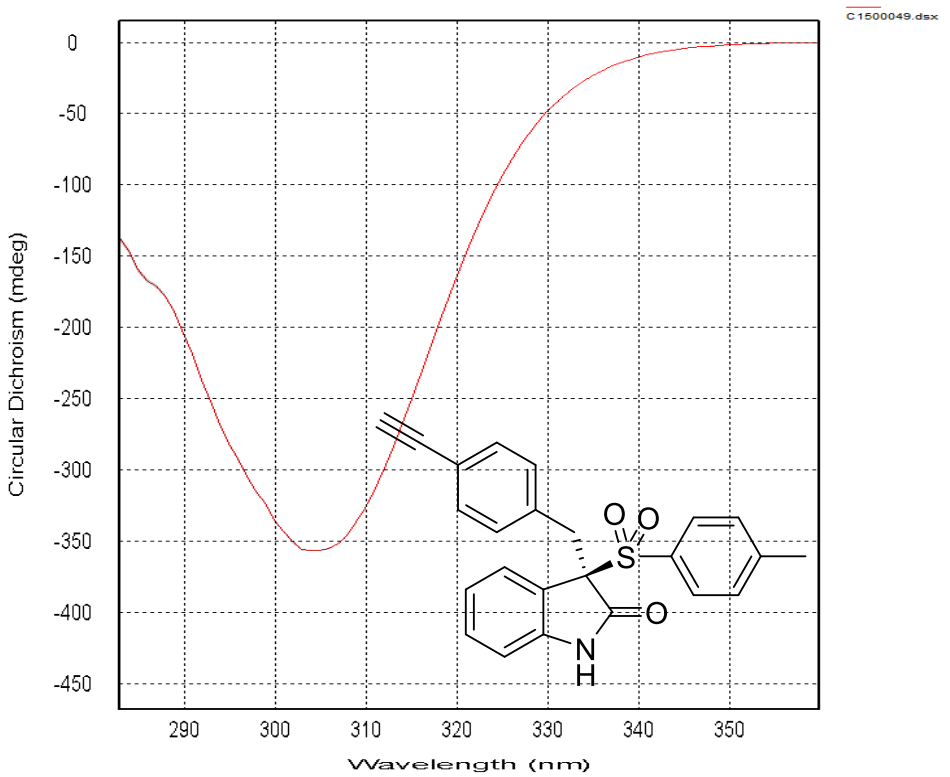

C1000035.dsx
Circular Dichroism (mdeg)
0
-50
-100
-150
-200
-250
-300
-350
290
300
310
320
330
340
350
360
Wavelength (nm)
NC
O
O
S
O
N
H

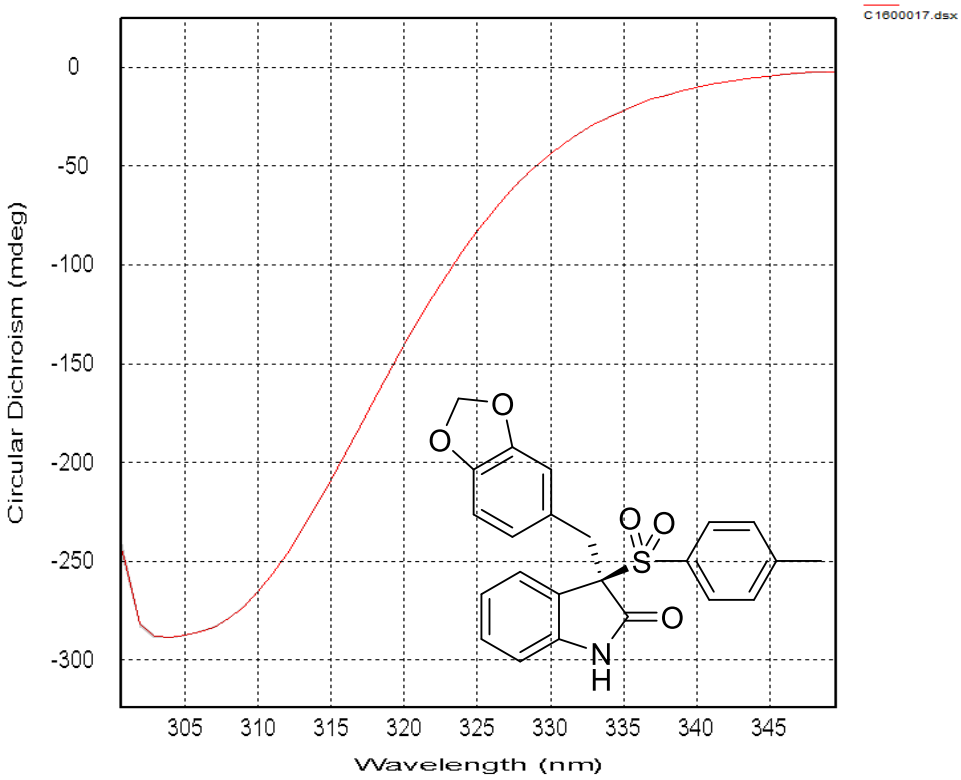

C1100055.dsx
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
-500
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H

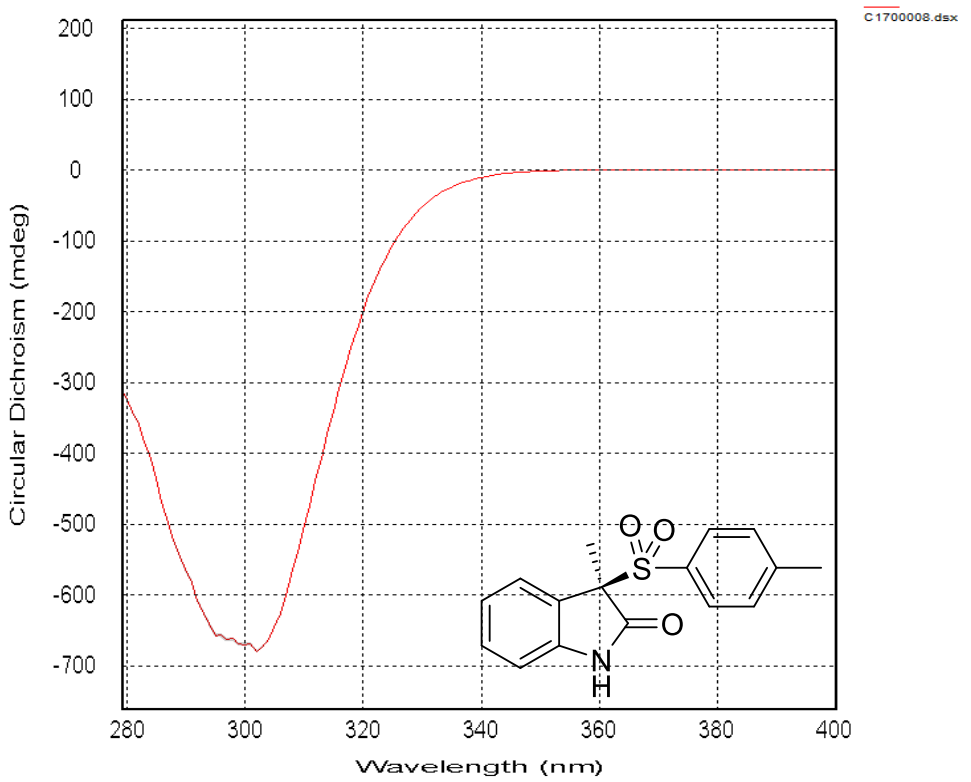

Smooth (s):0
0
-1
-2
-3
-4
-5
Circular Dichroism (mdeg)
280
290
300
310
320
330
340
350
Wavelength (nm)
MeO
O
O
S
O
N
H

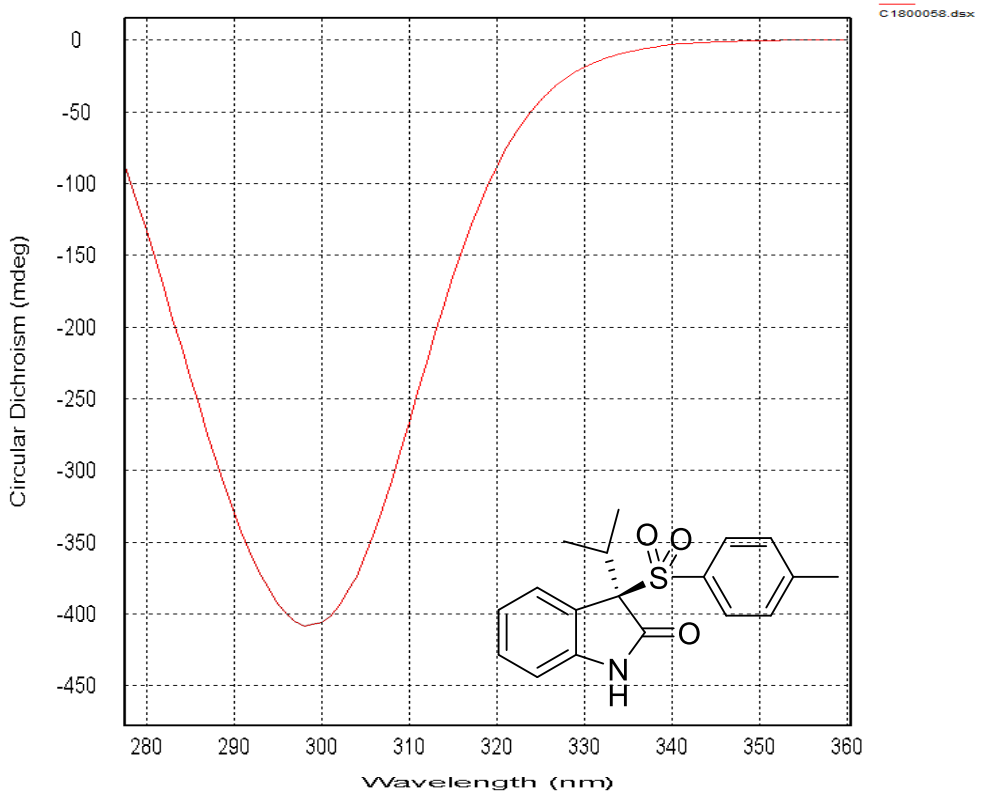

Smooth (s):0
0
-100
-200
-300
-400
-500
Circular Dichroism (mdeg)
310
320
330
340
350
Wavelength (nm)
MeS
O
O
S
O
N
H


C1400060.dsx
0
-100
-200
-300
-400
-500
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H


C1500049.dsx
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
O
N
H


C1600017.dsx
0
-50
-100
-150
-200
-250
-300
Circular Dichroism (mdeg)
305
310
315
320
325
330
335
340
345
Wavelength (nm)
O
O
O
O
S
O
N
H


C1700008.dsx
200
100
0
-100
-200
-300
-400
-500
-600
-700
Circular Dichroism (mdeg)
280
300
320
340
360
380
400
Wavelength (nm)
O
O
S
O
N
H


C1800058.dsx
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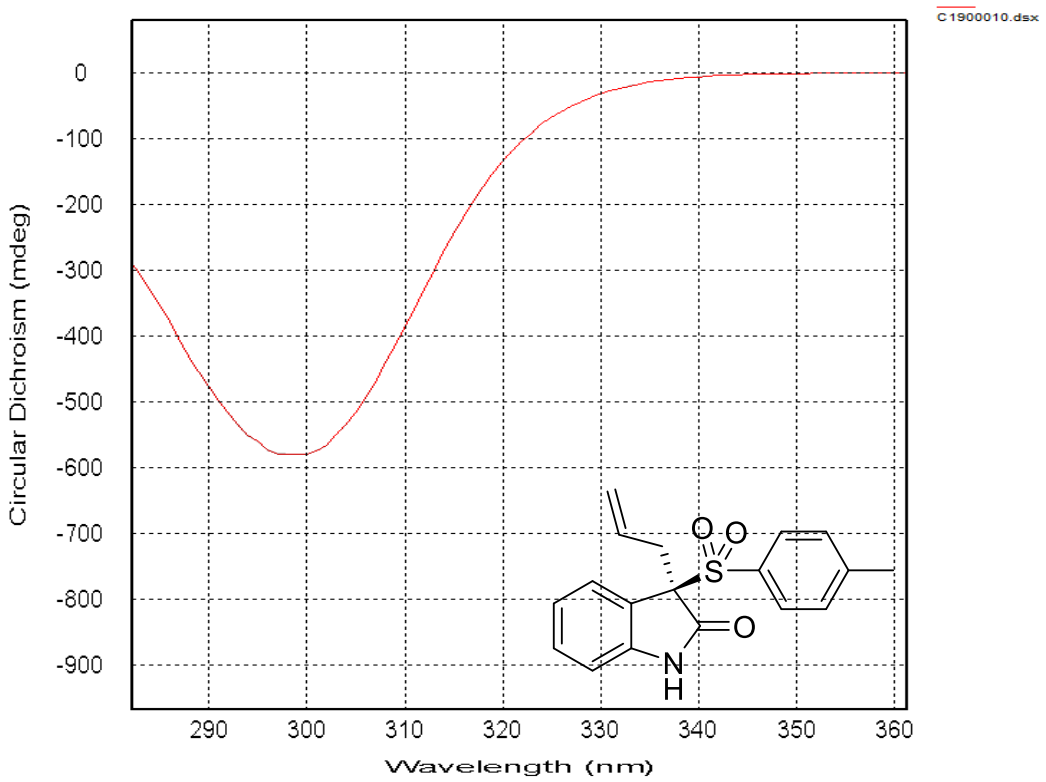
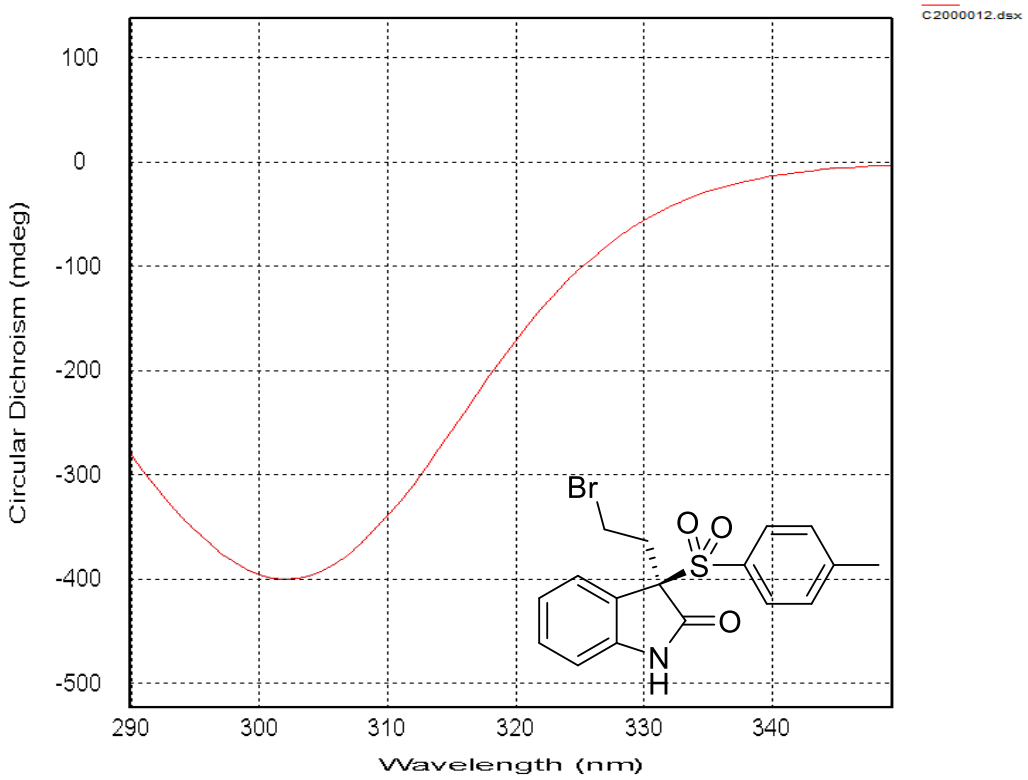
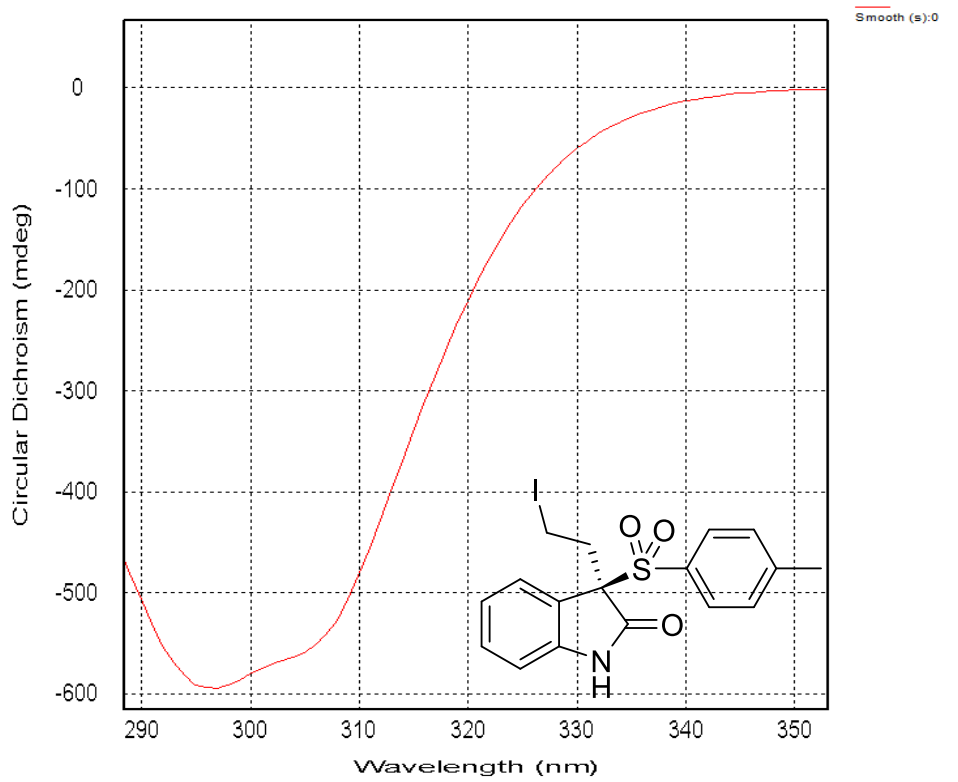
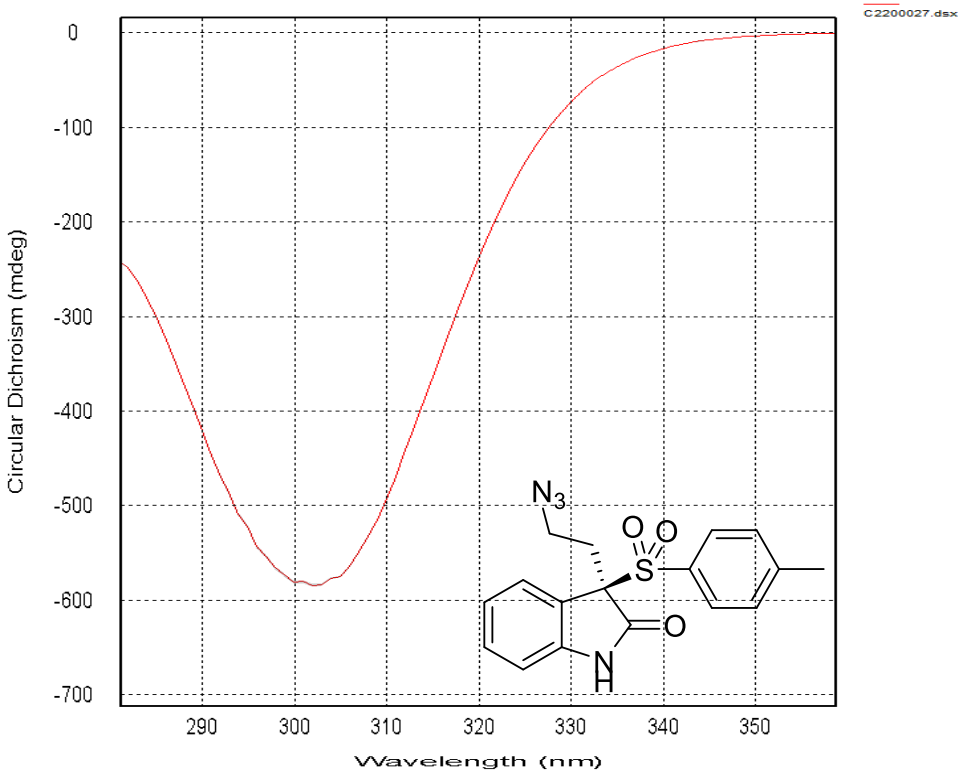
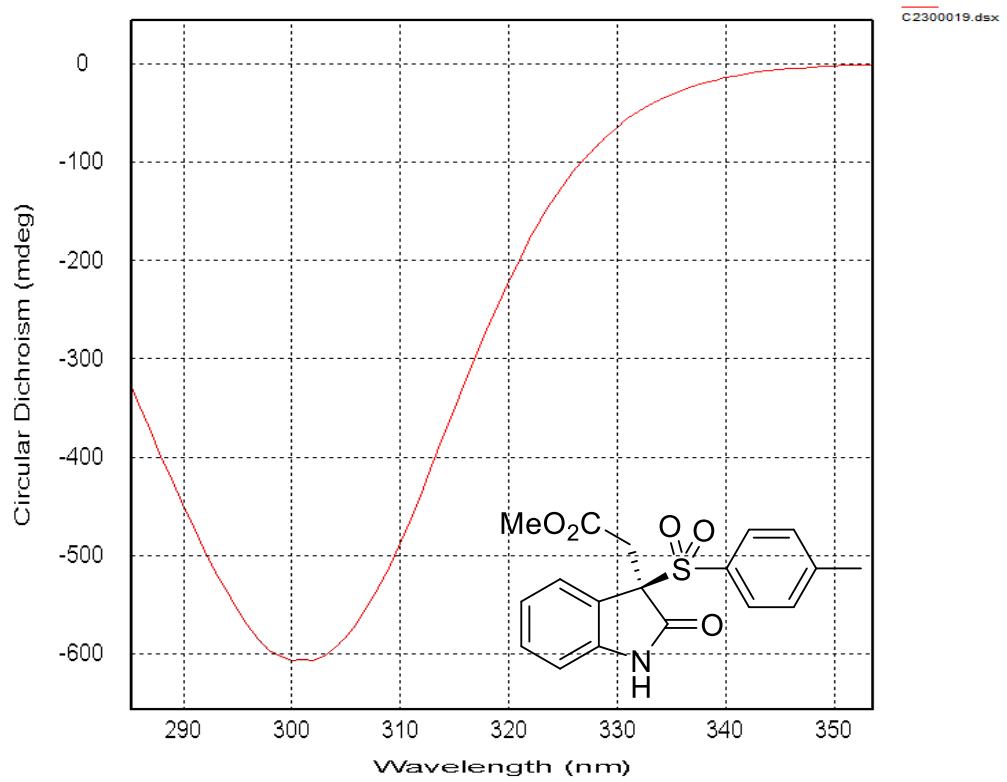
-50
-100
-150
-200
-250
-300
-350
-400
-450
Circular Dichroism (mdeg)
280
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H

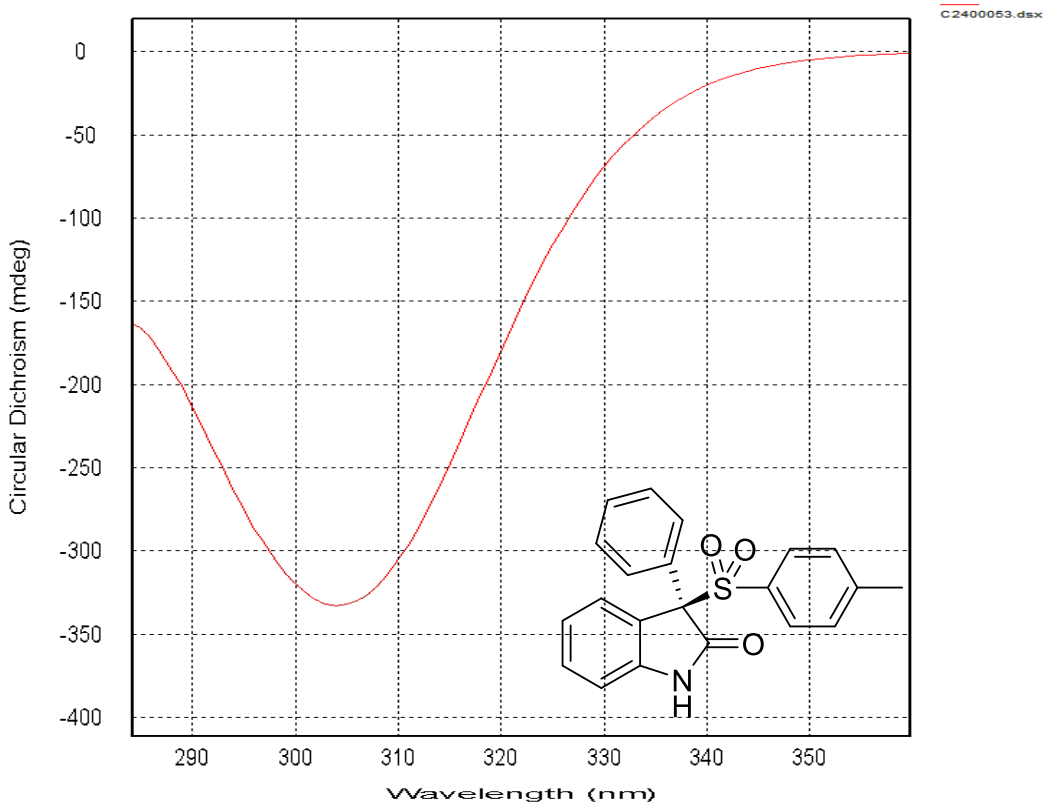

C1900010.dsx
Circular Dichroism (mdeg)
0
-100
-200
-300
-400
-500
-600
-700
-800
-900
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H

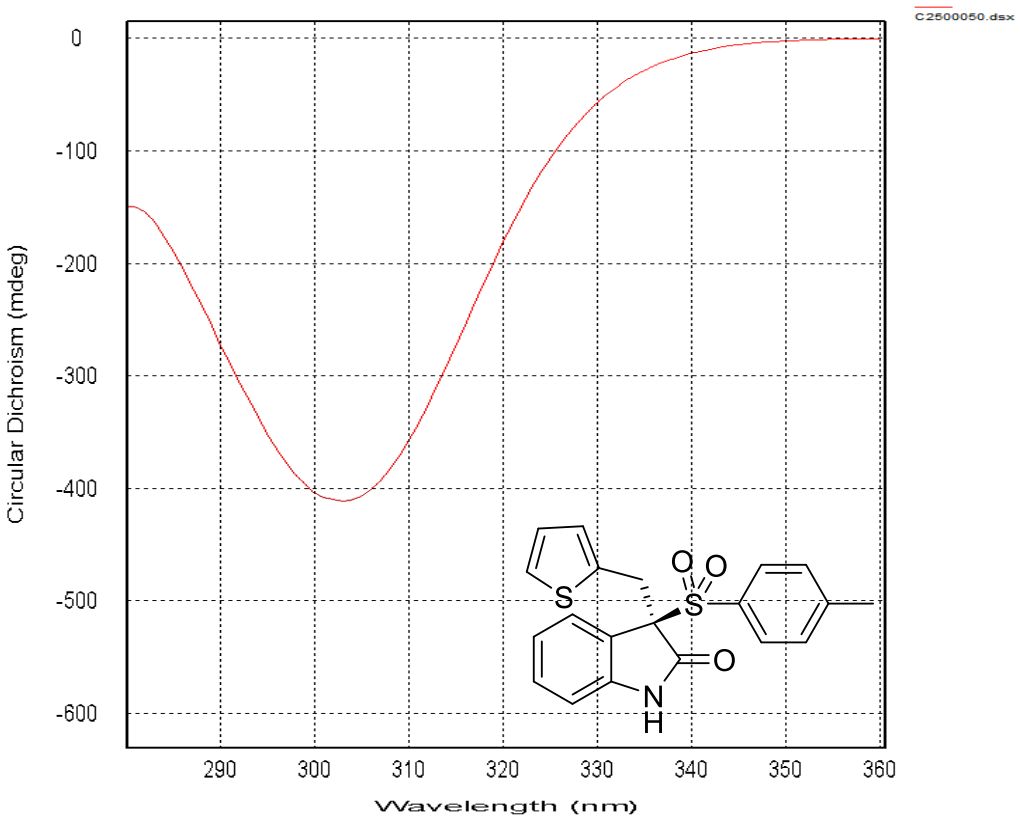

C2000012.dsx
Circular Dichroism (mdeg)
100
0
-100
-200
-300
-400
-500
290
300
310
320
330
340
Wavelength (nm)
Br
O
O
S
O
N
H

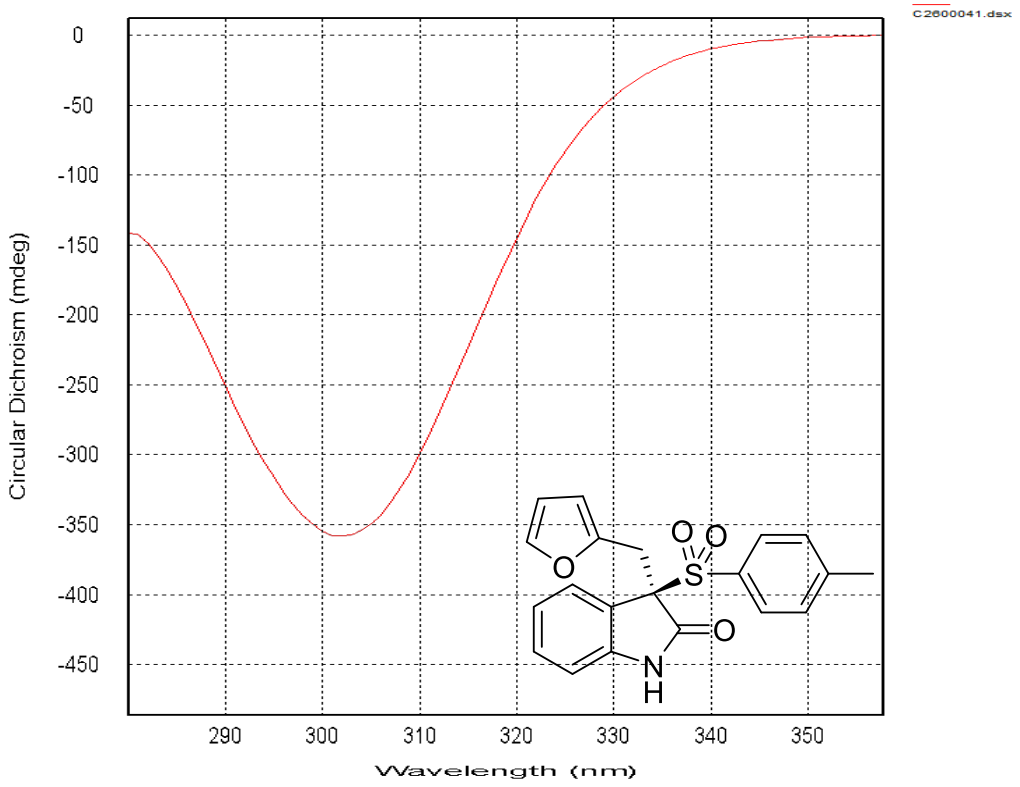

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
I
O
O
S
O
N
H

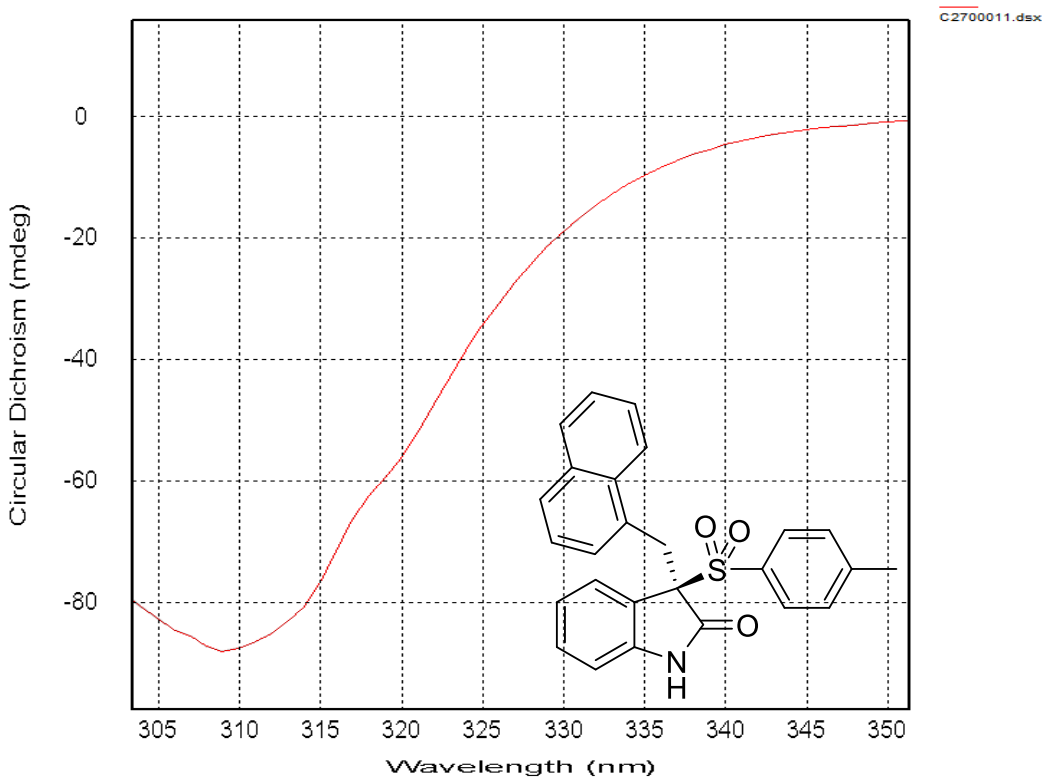

C2200027.dsx
0
-100
-200
-300
-400
-500
-600
-700
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
N3
O
O
S
O
N
H

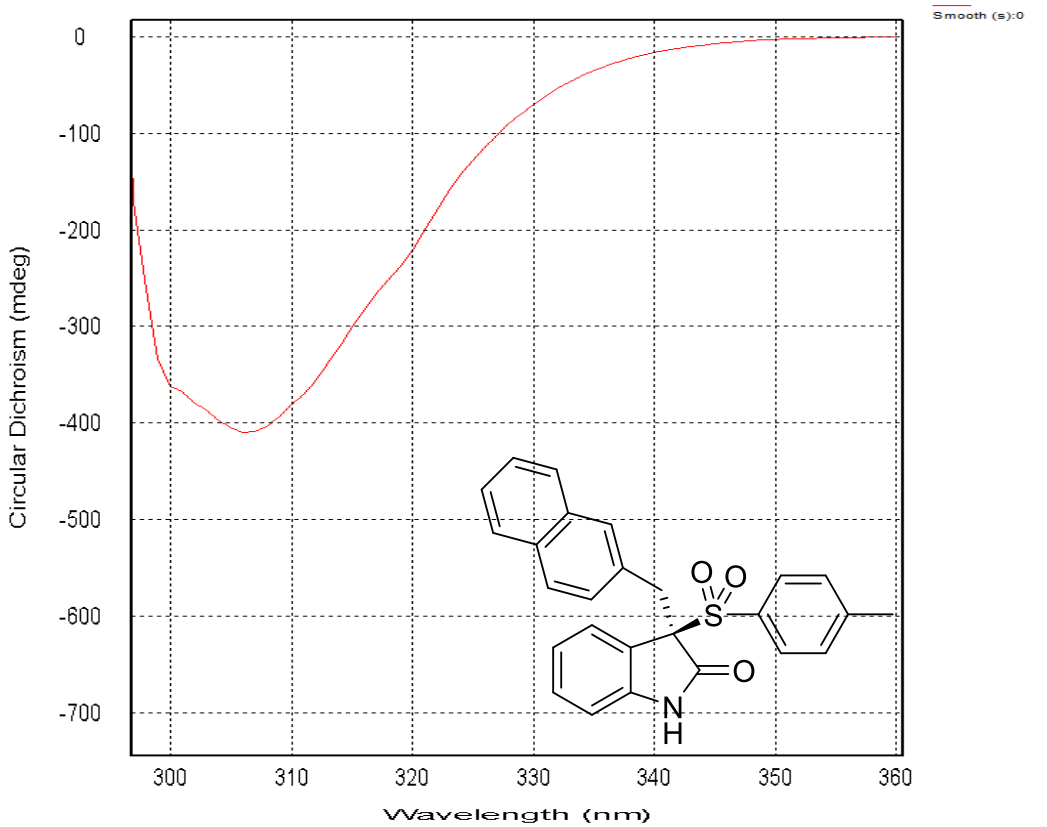

C2300019.dsx
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
MeO2C
O
O
S
O
N
H

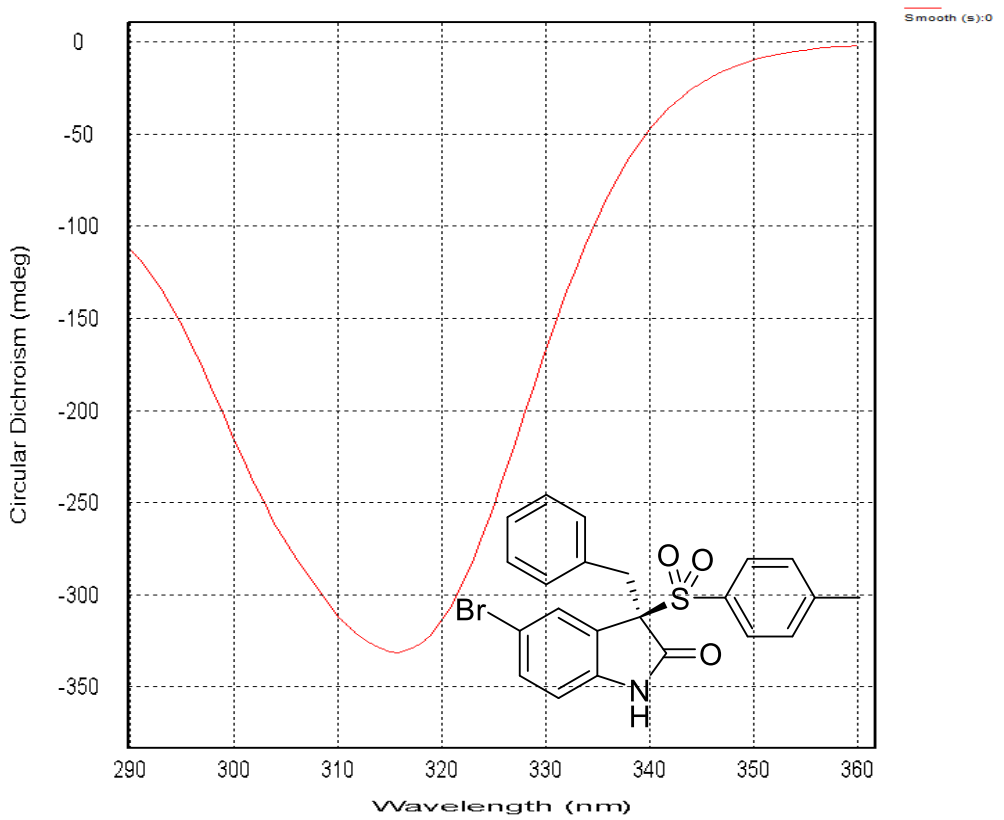

C2400053.dsx
0
-50
-100
-150
-200
-250
-300
-350
-400
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
O
N
H

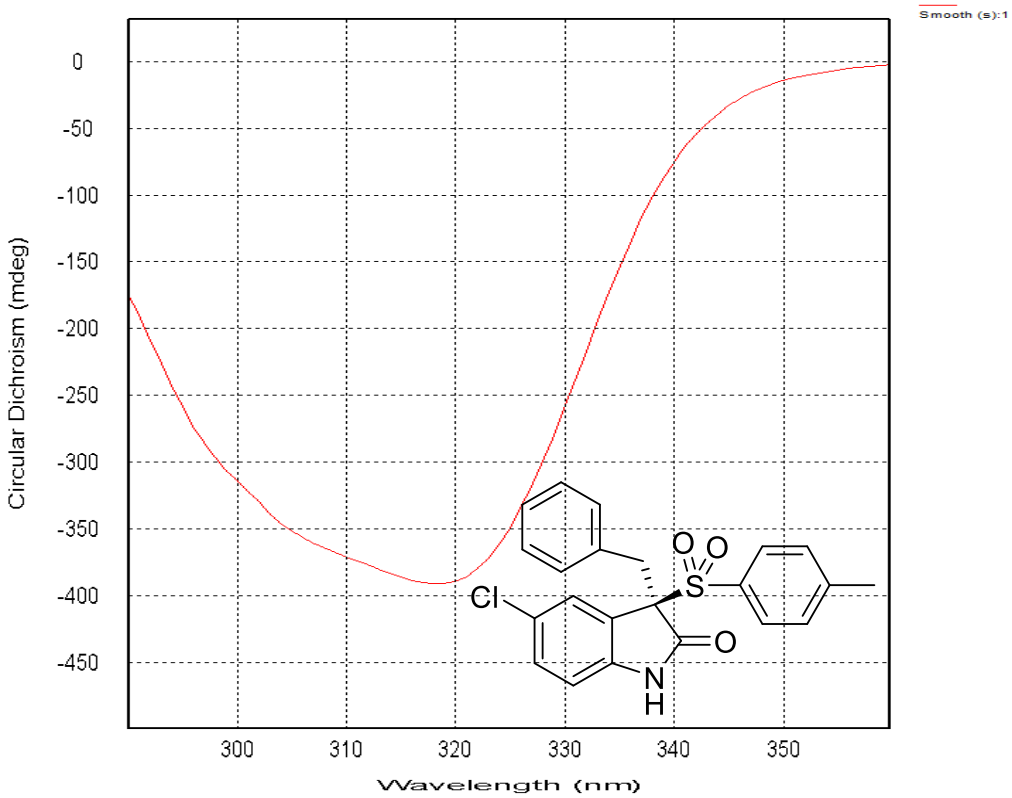

C2500050.dsx
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
S
O
O
S
O
N
H

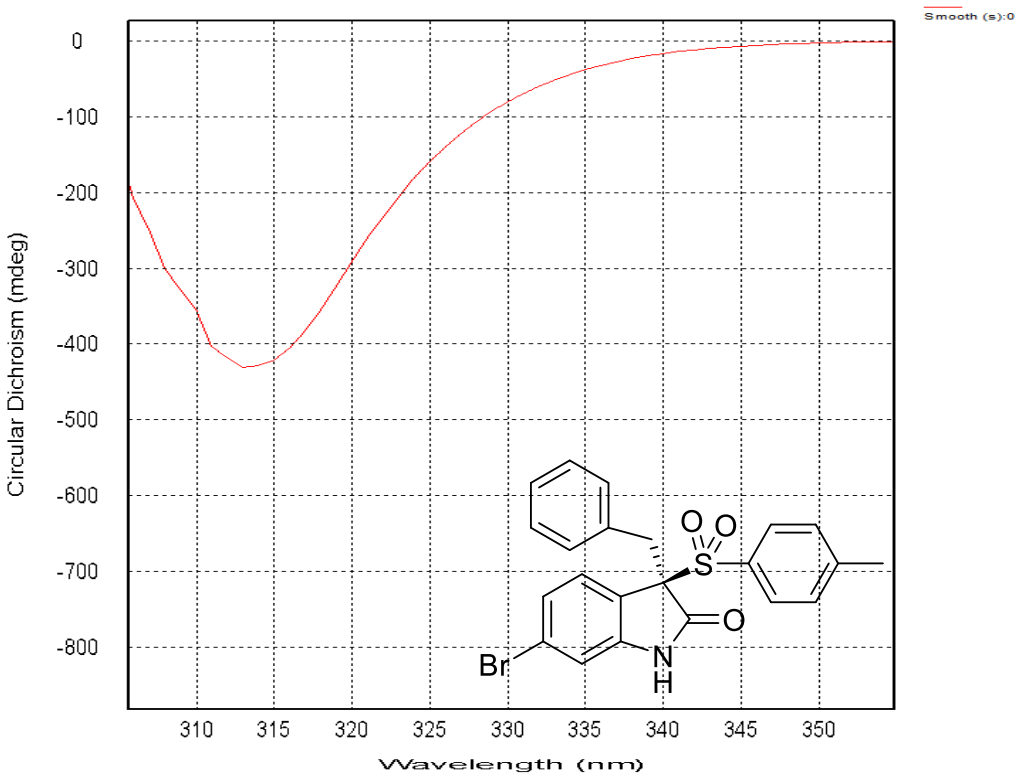

C2600041.dsx
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
O
O
O
S
O
N
H

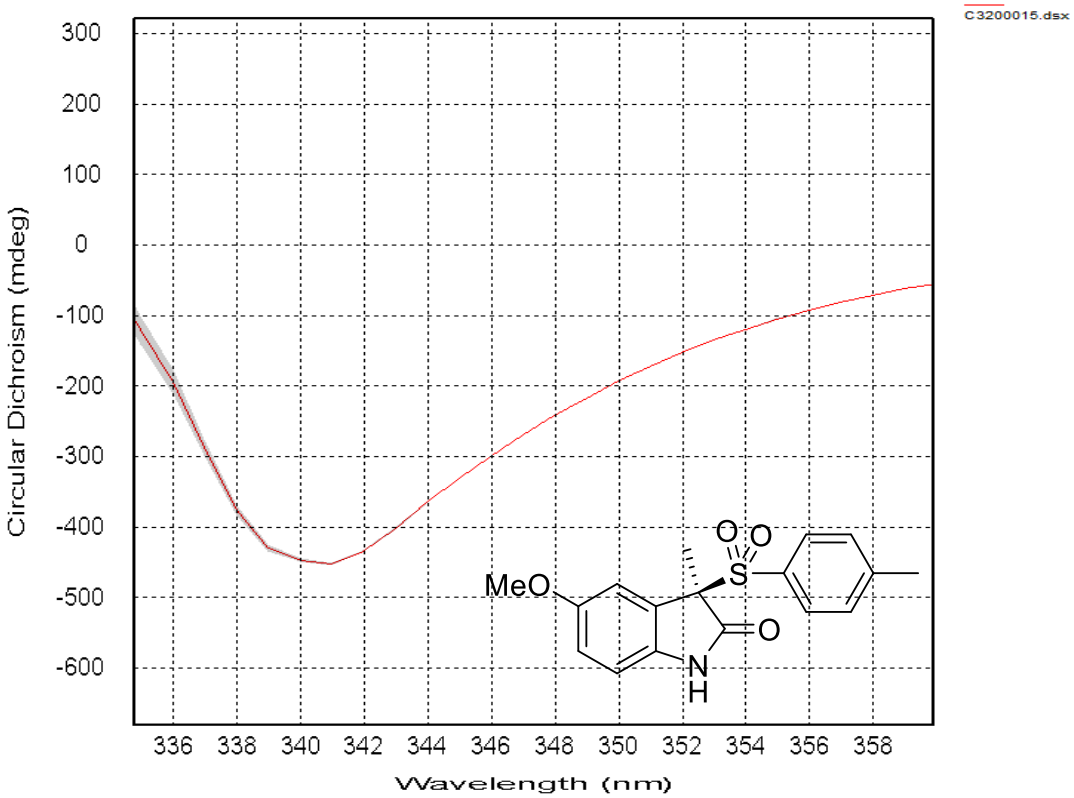

C2700011.dsx
0
-20
-40
-60
-80
Circular Dichroism (mdeg)
305
310
315
320
325
330
335
340
345
350
Wavelength (nm)
O
O
S
O
N
H

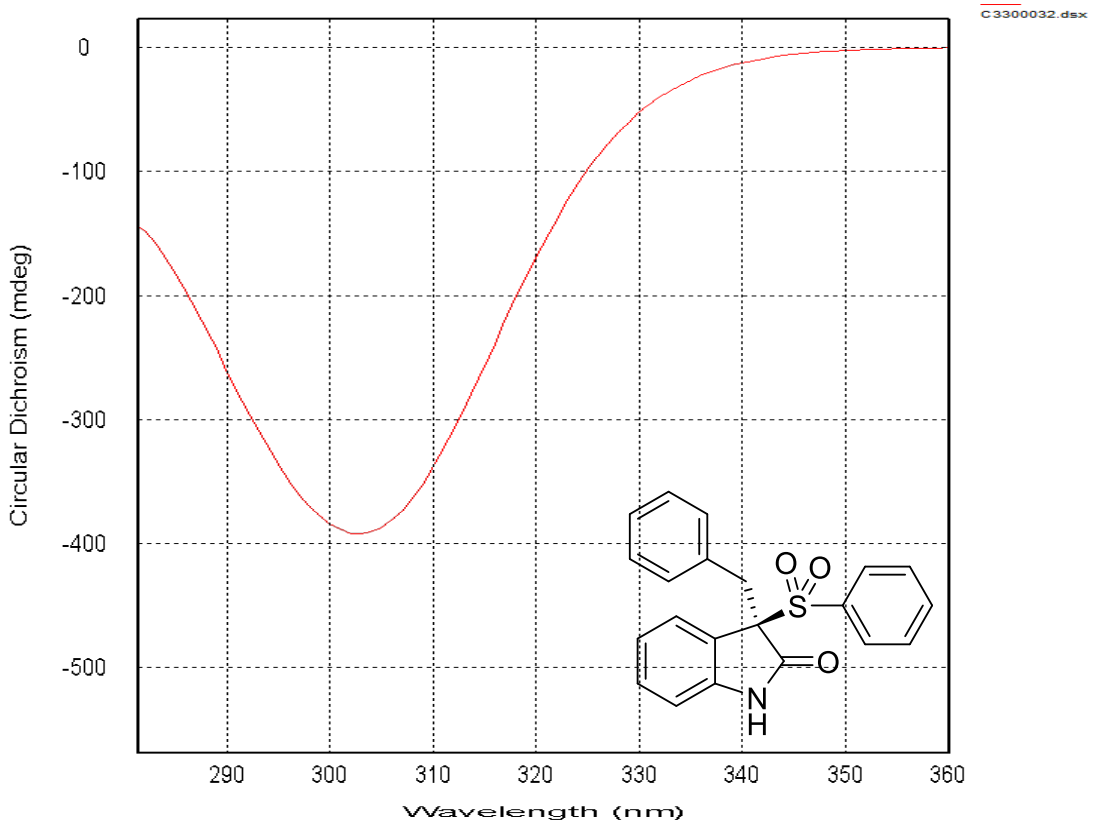

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
-700
Circular Dichroism (mdeg)
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H

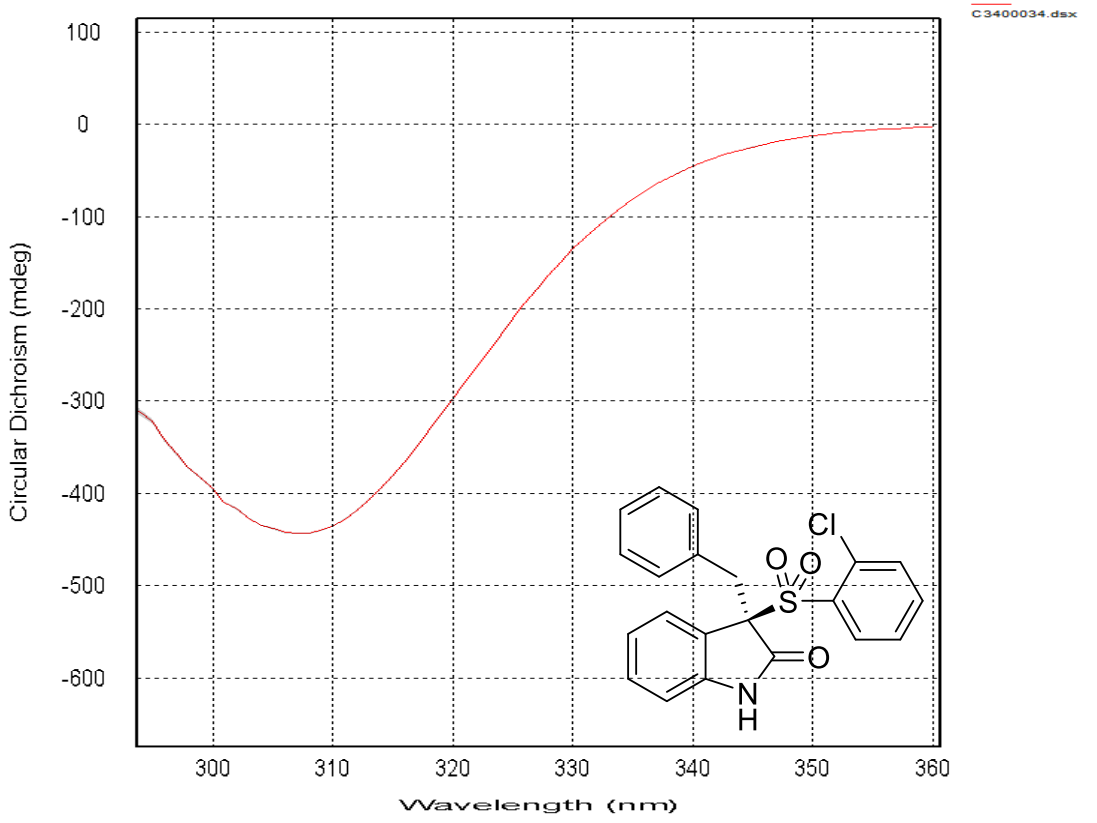

Smooth (s):0
0
-50
-100
-150
-200
-250
-300
-350
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
Br
O
O
S
O
N
H

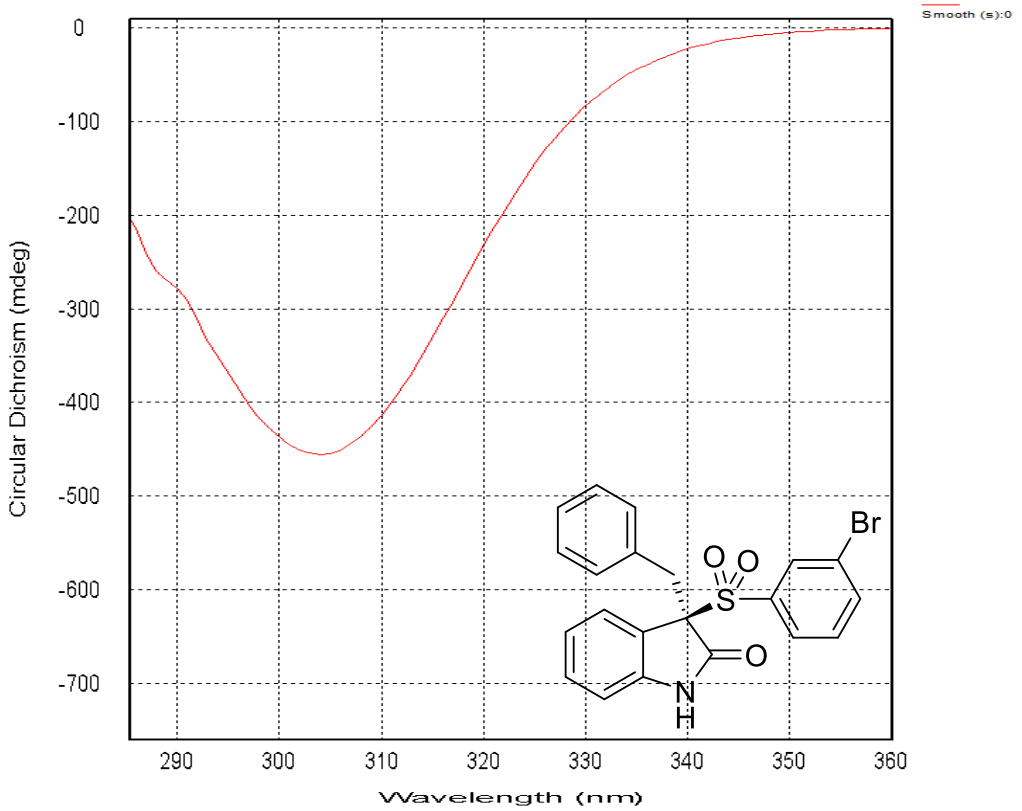

Smooth (s):1
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
Circular Dichroism (mdeg)
300
310
320
330
340
350
Wavelength (nm)
Cl
O
O
S
O
N
H

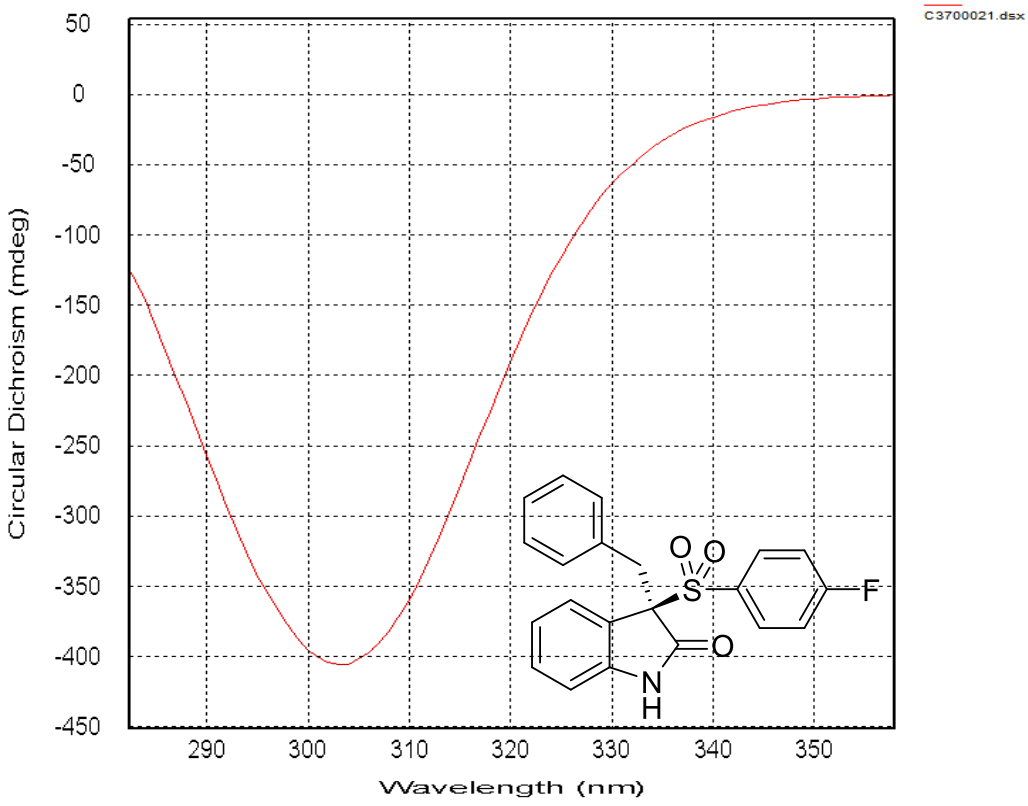

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
-700
-800
Circular Dichroism (mdeg)
310
315
320
325
330
335
340
345
350
Wavelength (nm)
O
O
S
O
Br
N
H

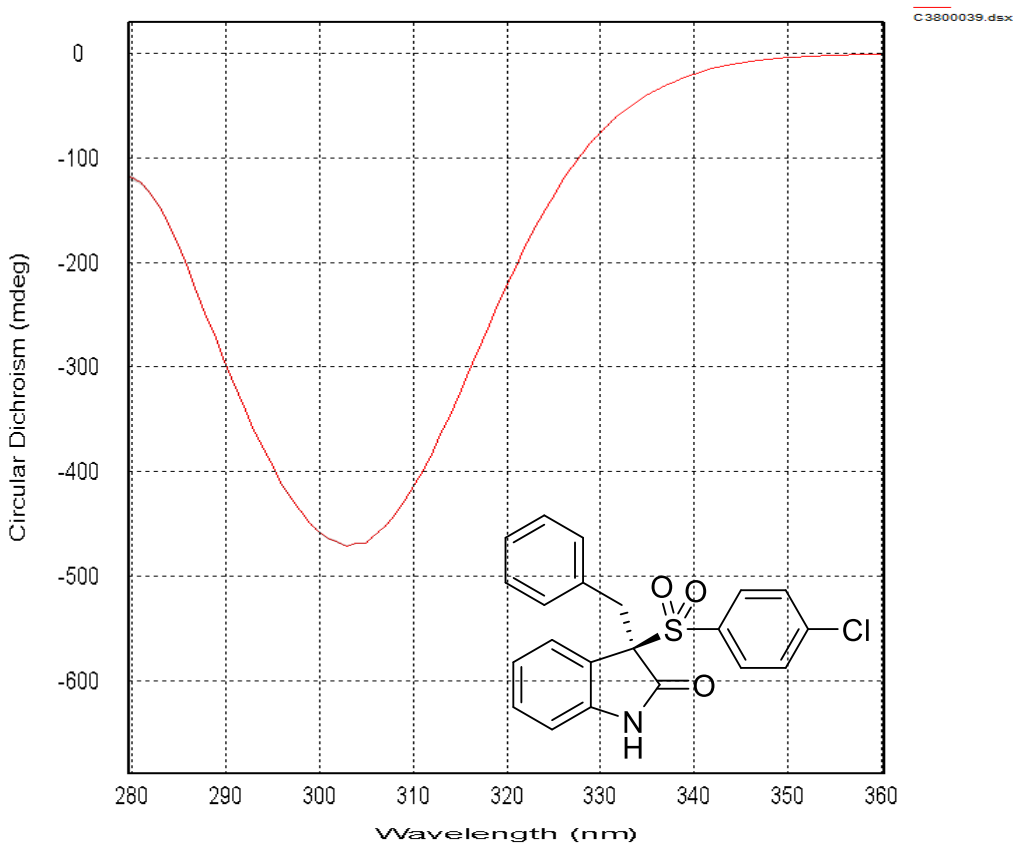

C3200015.dsx
300
200
100
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
336
338
340
342
344
346
348
350
352
354
356
358
Wavelength (nm)
O
O
S
MeO
O
N
H

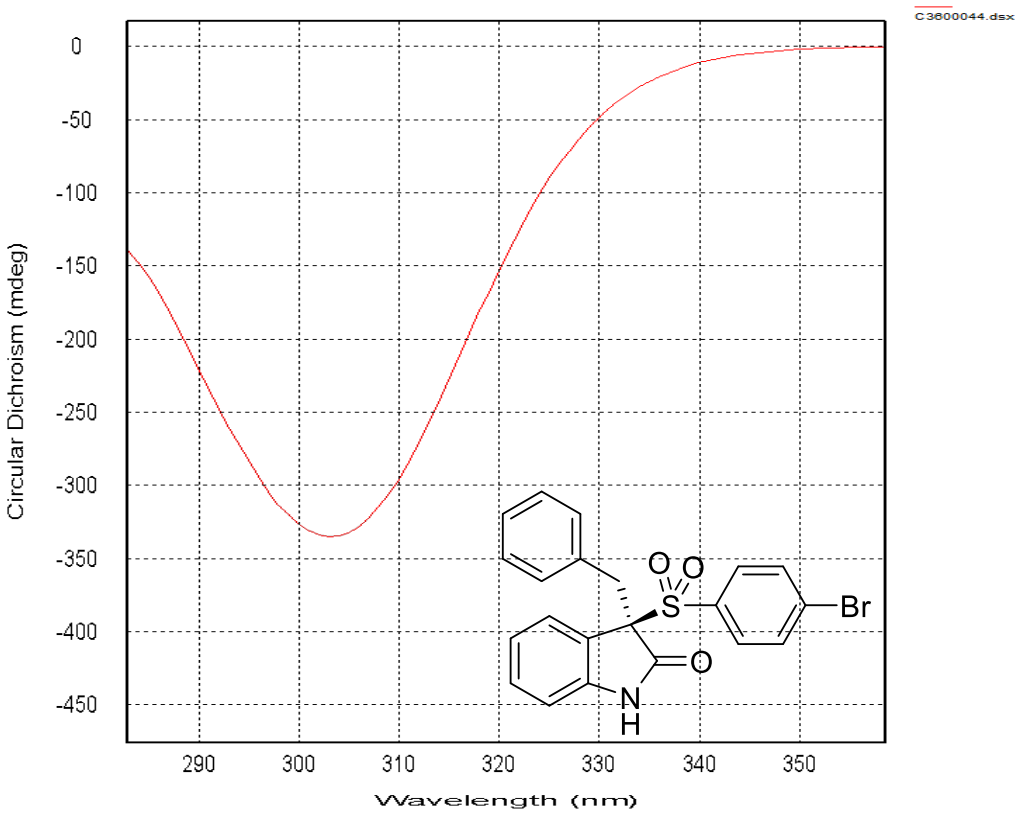

C3300032.dsx
0
-100
-200
-300
-400
-500
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
N
H

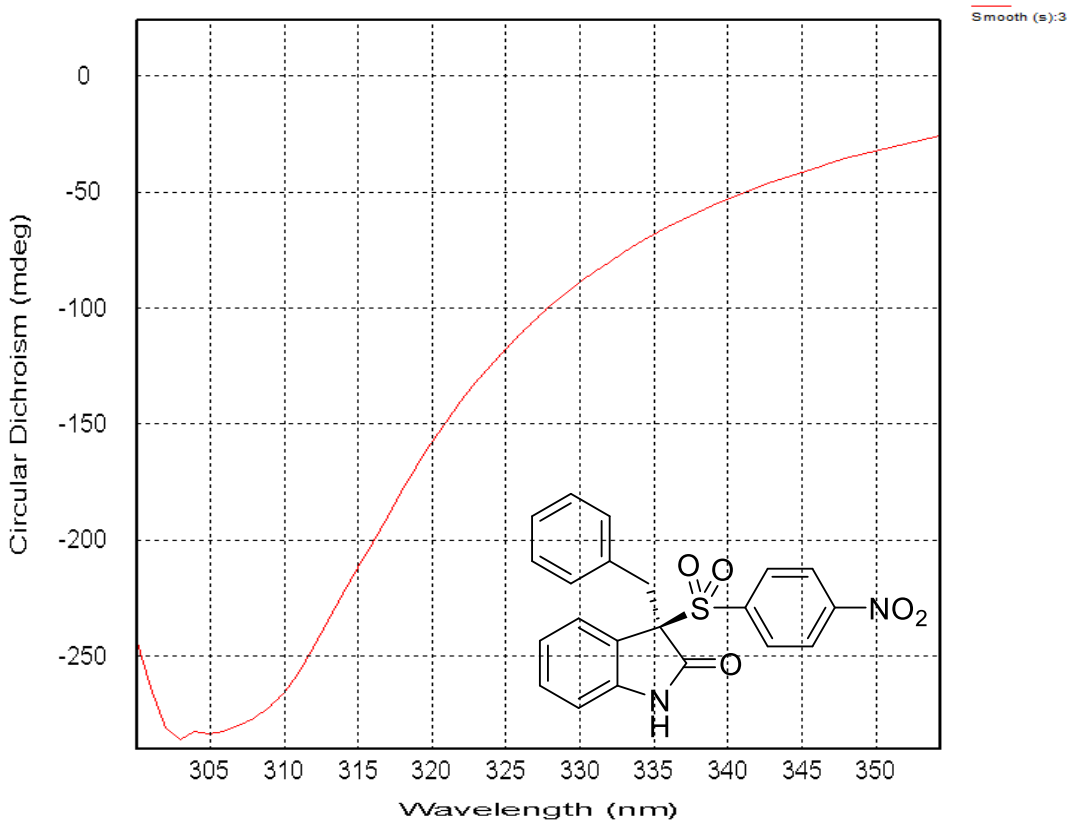

C3400034.dsx
100
0
-100
-200
-300
-400
-500
-600
Circular Dichroism (mdeg)
300
310
320
330
340
350
360
Wavelength (nm)
Cl
O
O
S
O
N
H

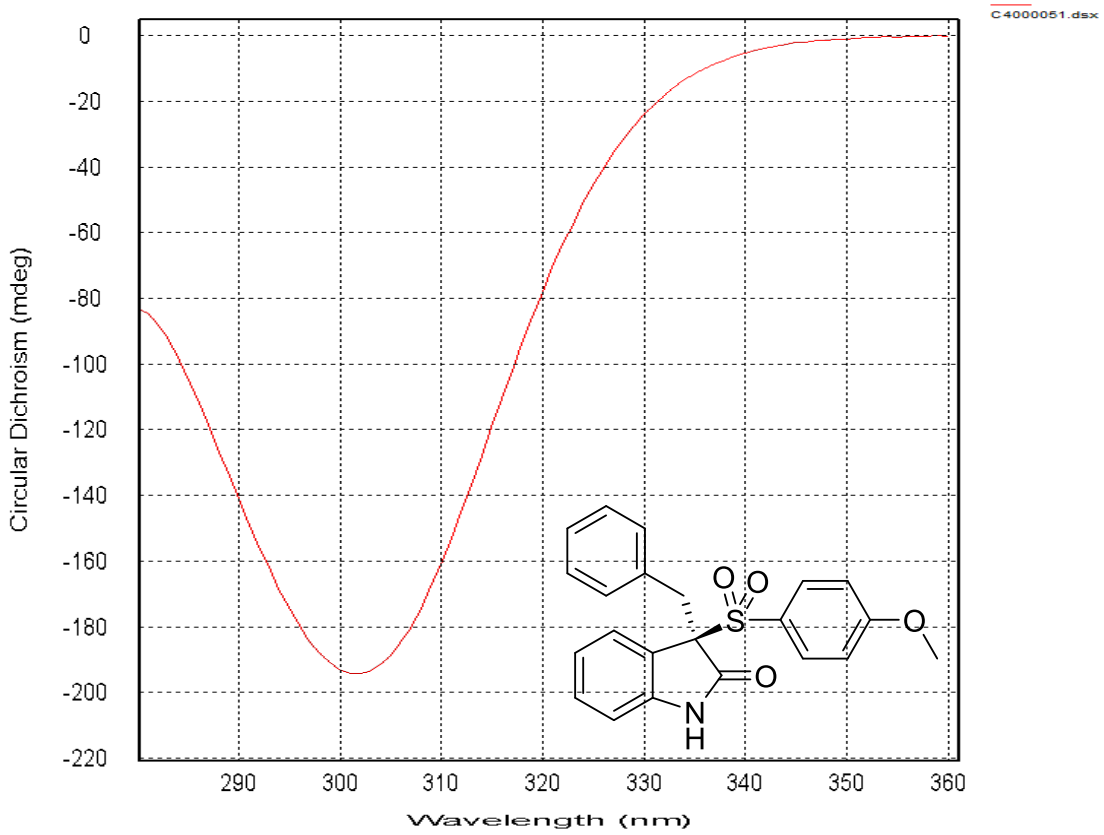

Smooth (s):0
0
-100
-200
-300
-400
-500
-600
-700
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
360
Wavelength (nm)
Br
O
O
S
O
N
H

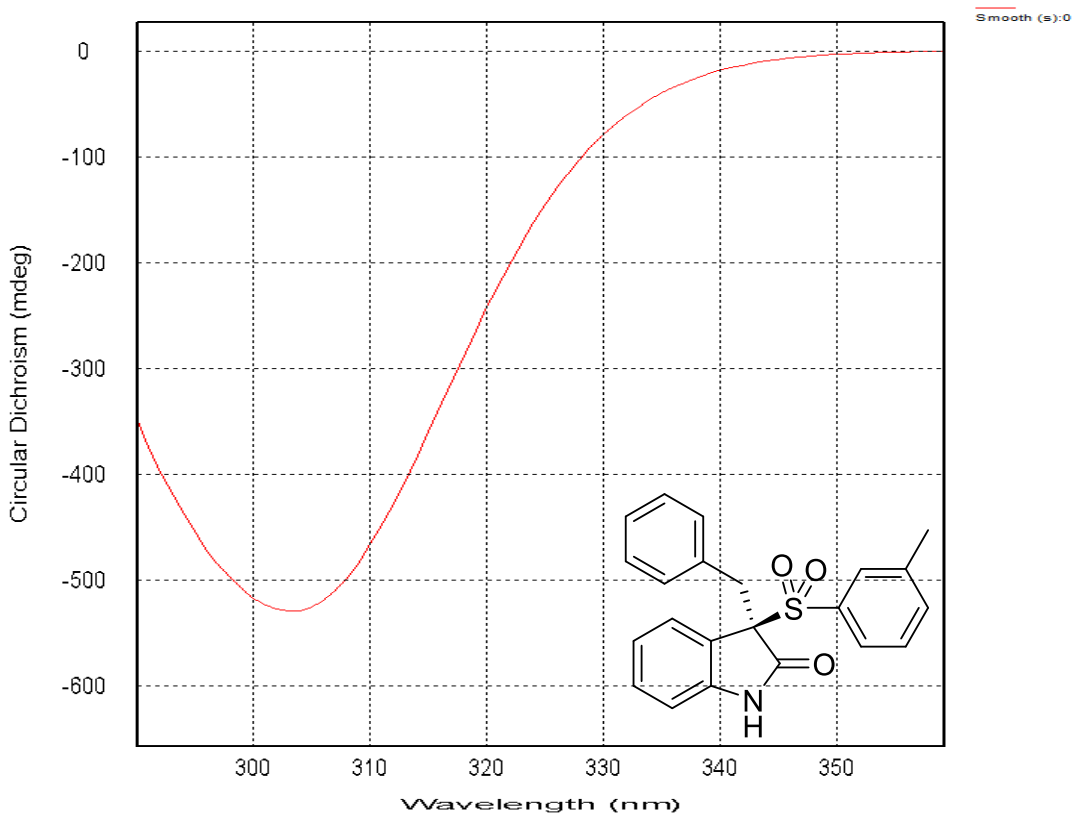

C3700021.dsx
50
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
F
O
N
H


C3800039.dsx
Circular Dichroism (mdeg)
0
-100
-200
-300
-400
-500
-600
280
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
Cl
O
N
H


C3600044.dsx
Circular Dichroism (mdeg)
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
Br
O
N
H


Smooth (s):3
Circular Dichroism (mdeg)
0
-50
-100
-150
-200
-250
305
310
315
320
325
330
335
340
345
350
Wavelength (nm)
O
O
S
NO2
O
N
H


C4000051.dsx
Circular Dichroism (mdeg)
0
-20
-40
-60
-80
-100
-120
-140
-160
-180
-200
-220
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
O
O
N
H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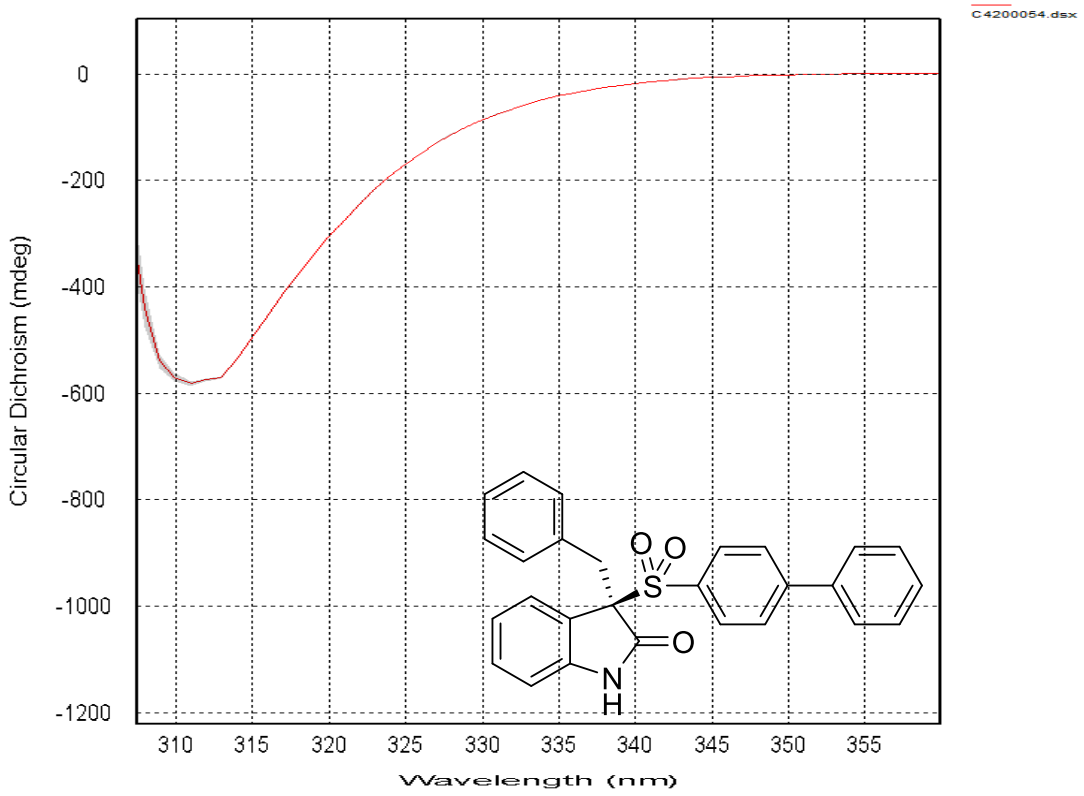
310
320
330
340
350
Wavelength (nm)
O
O
S
O
N
H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Circular Dichroism (mdeg)
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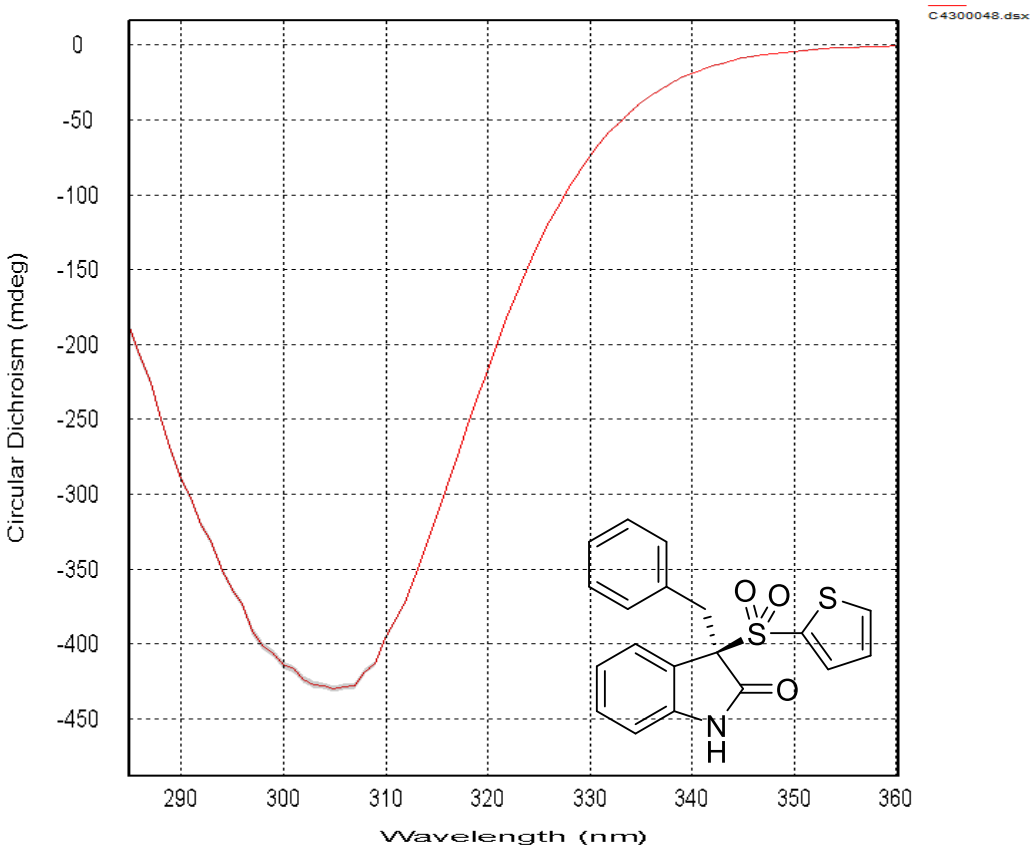
315
320
325
330
335
340
345
350
355
Wavelength (nm)
O
O
S
O
N
H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Circular Dichroism (mdeg)
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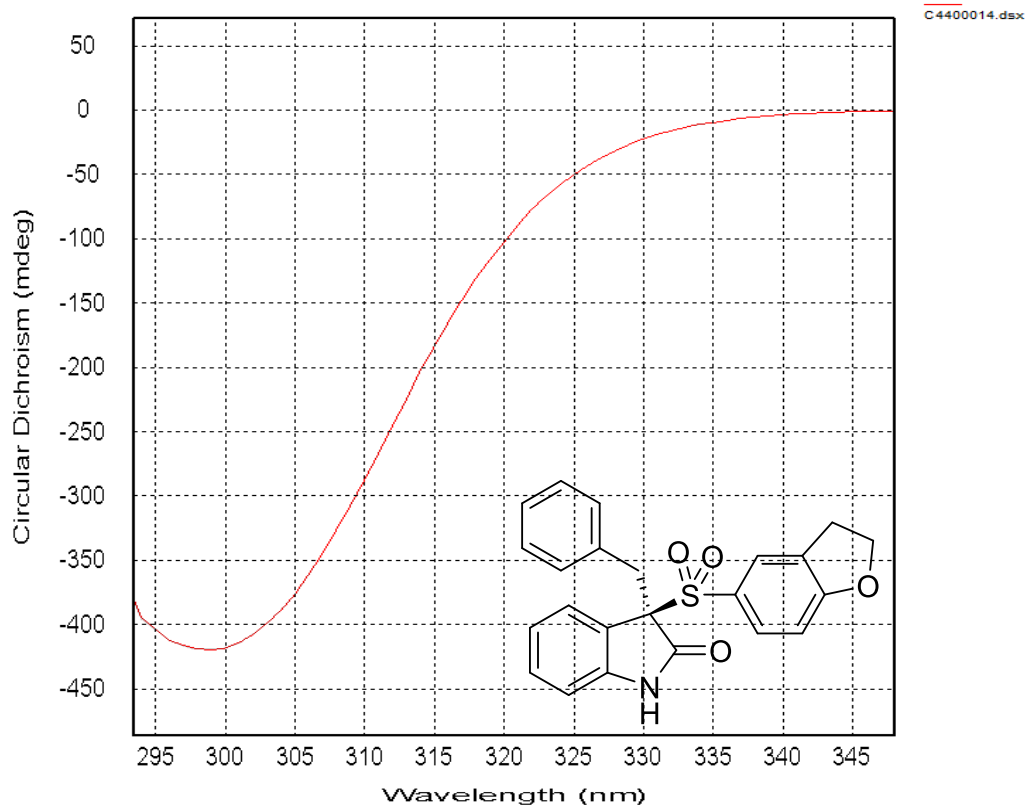
-100
-150
-200
-250
-300
-350
-400
-450
290
300
310
320
330
340
350
360
Wavelength (nm)
O
O
S
S
O
N
H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Circular Dichroism (mdeg)
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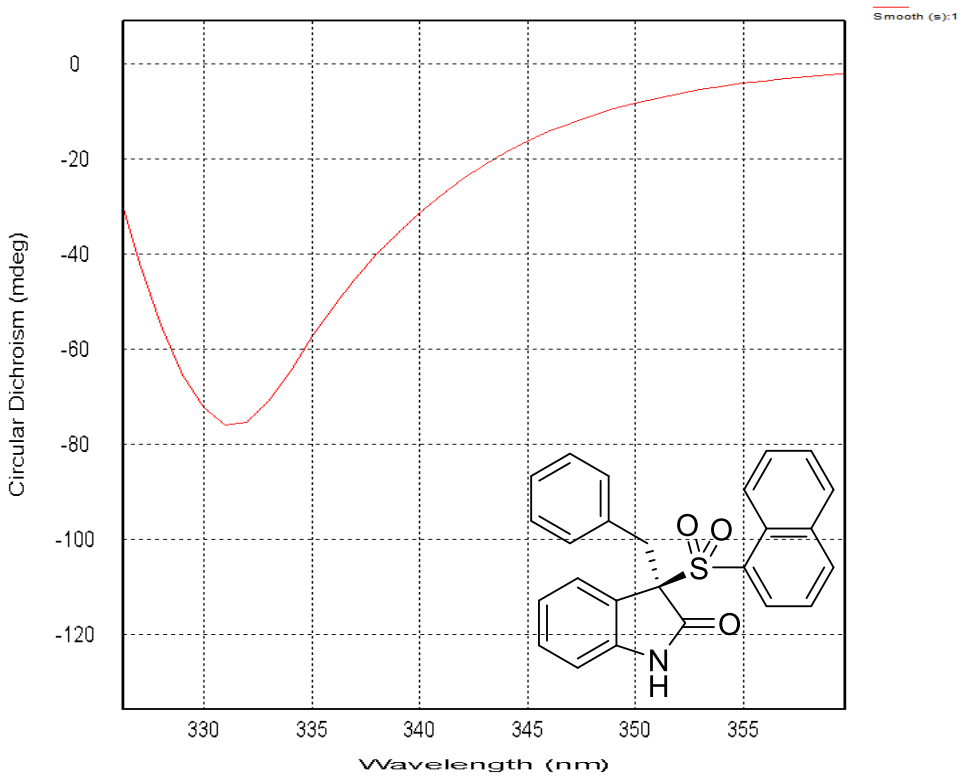
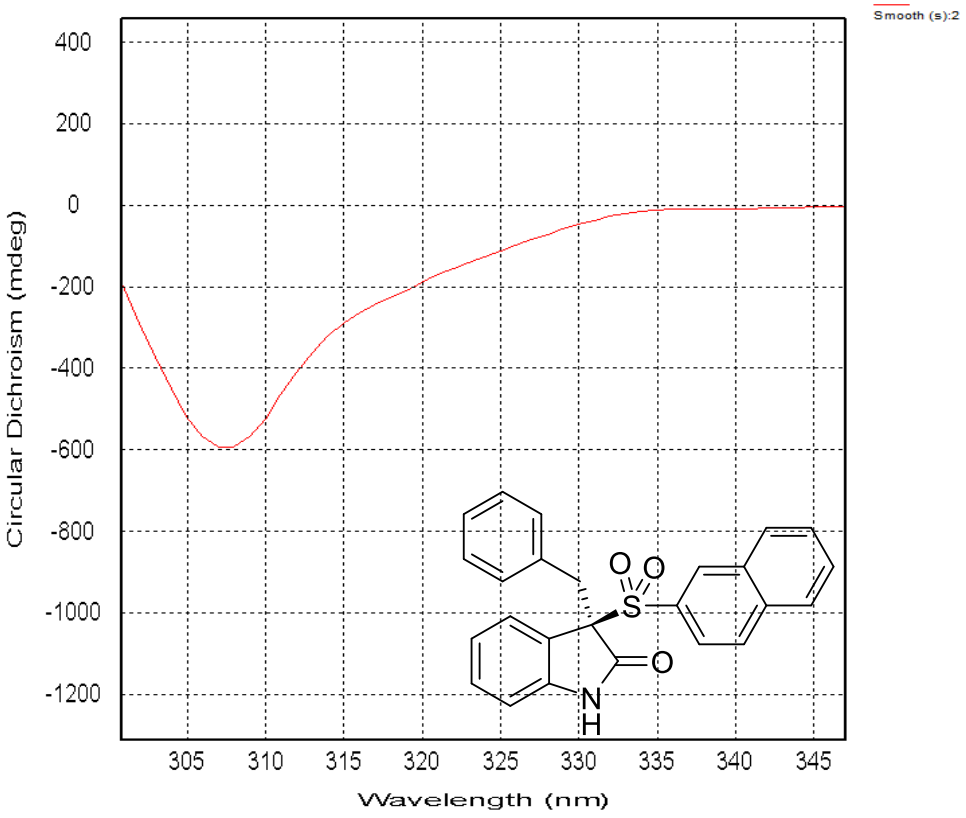
0
-50
-100
-150
-200
-250
-300
-350
-400
-450
295
300
305
310
315
320
325
330
335
340
345
Wavelength (nm)
O
O
S
O
O
N
H


Smooth (s):1
0
-20
-40
-60
-80
-100
-120
Circular Dichroism (mdeg)
330
335
340
345
350
355
Wavelength (nm)
O
O
S
O
N
H


Smooth (s):2
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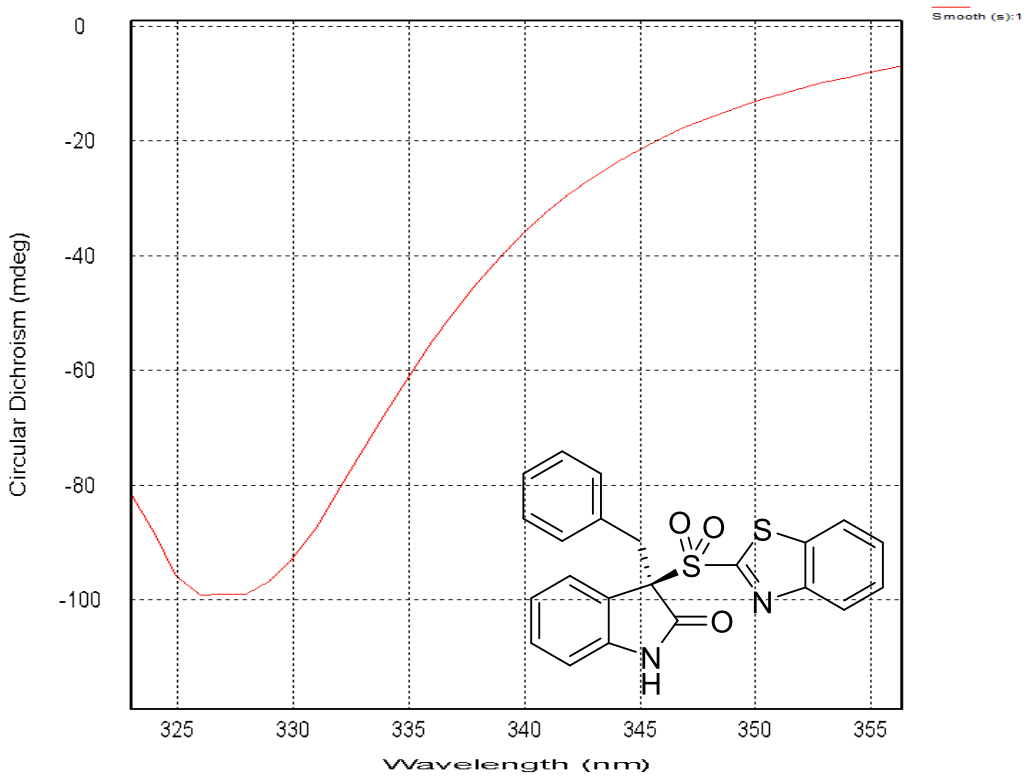
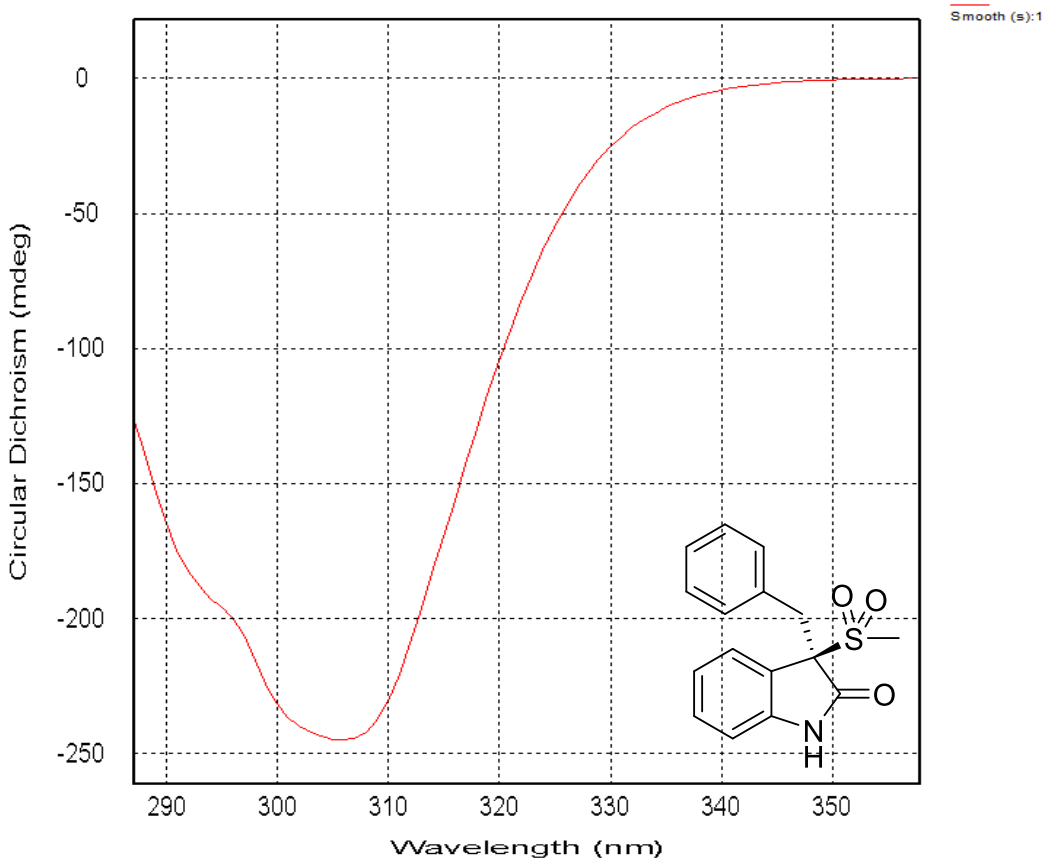
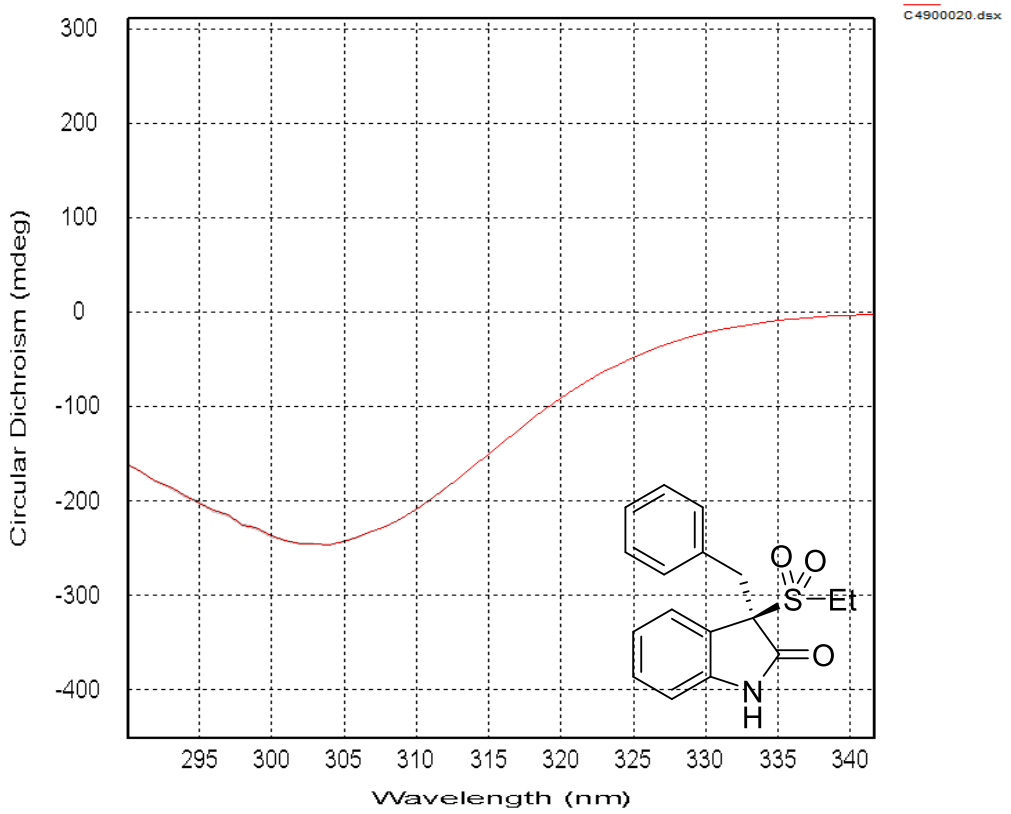
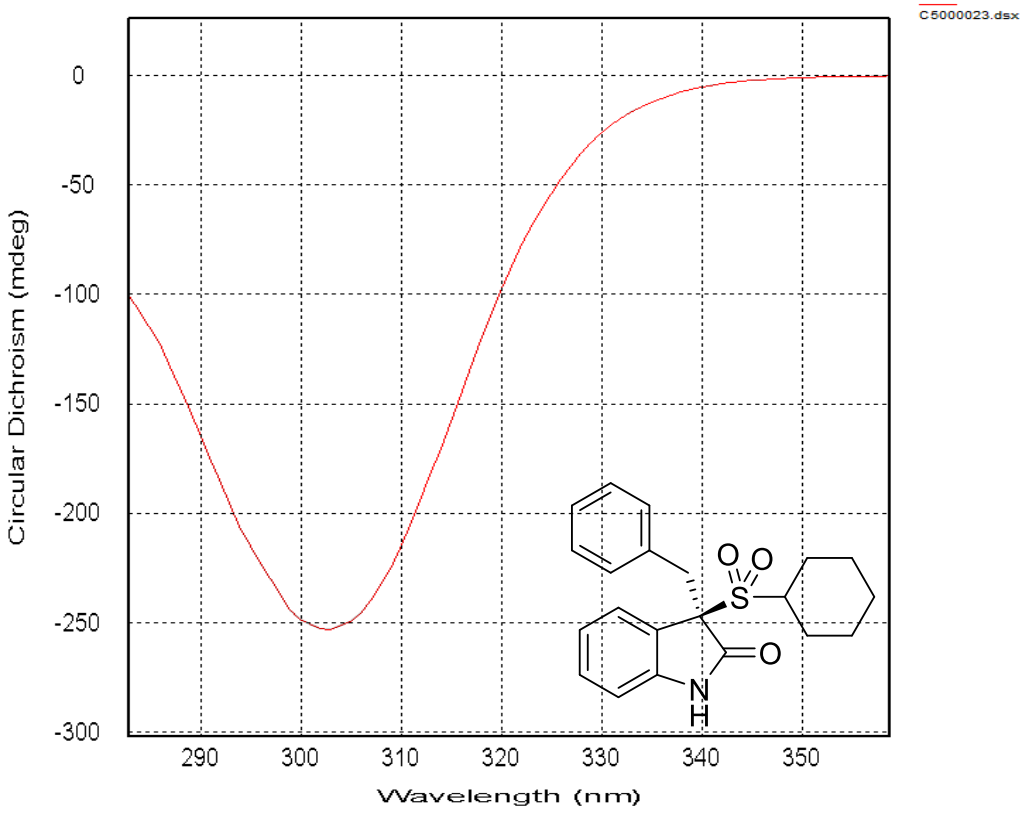
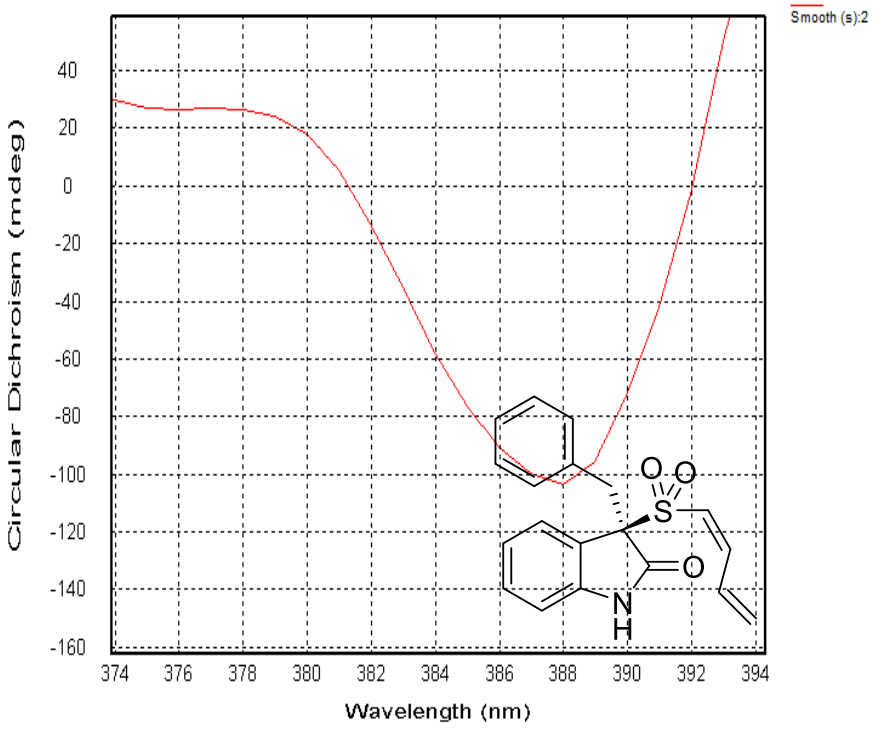
200
0
-200
-400
-600
-800
-1000
-1200
Circular Dichroism (mdeg)
305
310
315
320
325
330
335
340
345
Wavelength (nm)
O
O
S
O
N
H

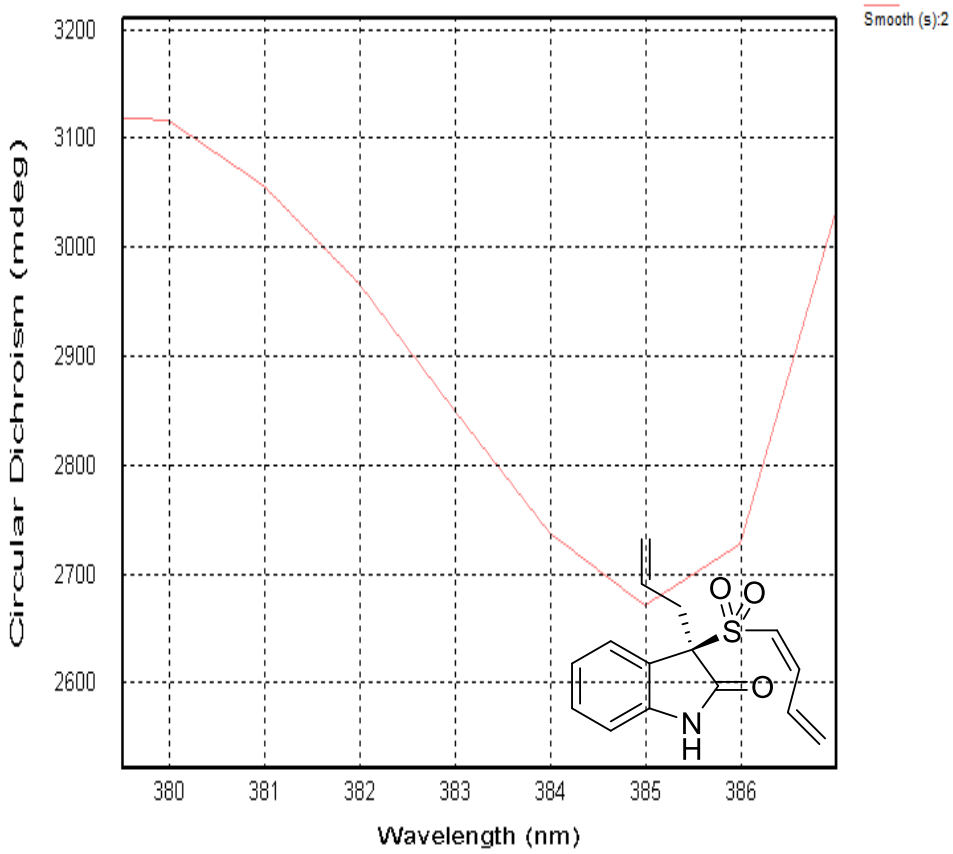

Smooth (s):1
0
-20
-40
-60
-80
-100
Circular Dichroism (mdeg)
325
330
335
340
345
350
355
Wavelength (nm)
O
O
S
S
N
O
N
H


Smooth (s):1
0
-50
-100
-150
-200
-250
Circular Dichroism (mdeg)
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
O
N
H


C4900020.dsx
Circular Dichroism (mdeg)
300
200
100
0
-100
-200
-300
-400
295
300
305
310
315
320
325
330
335
340
Wavelength (nm)
O
O
S
Et
O
N
H


C5000023.dsx
Circular Dichroism (mdeg)
0
-50
-100
-150
-200
-250
-300
290
300
310
320
330
340
350
Wavelength (nm)
O
O
S
O
N
H


Smooth (s):2
Circular Dichroism (mdeg)
40
20
0
-20
-40
-60
-80
-100
-120
-140
-160
374
376
378
380
382
384
386
388
390
392
394
Wavelength (nm)
O
O
S
O
N
H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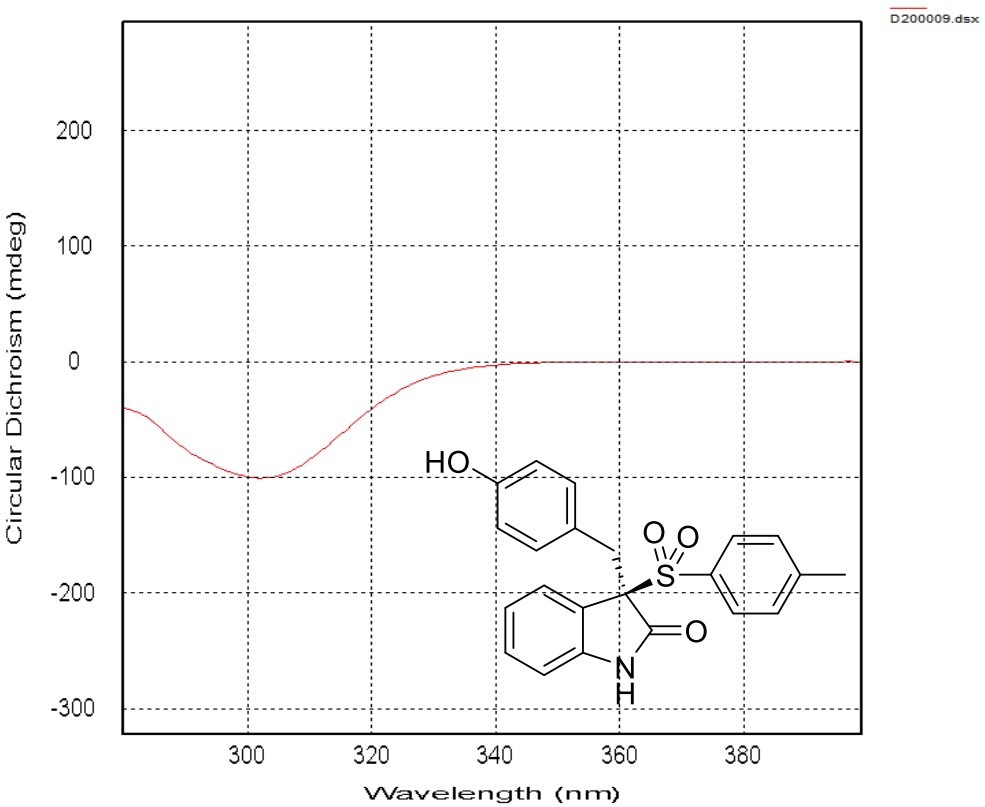
Circular Dichroism (mdeg)
3200
3100
3000
2900
2800
2700
2600
380
381
382
383
384
385
386
Wavelength (nm)
O
O
S
O
N
H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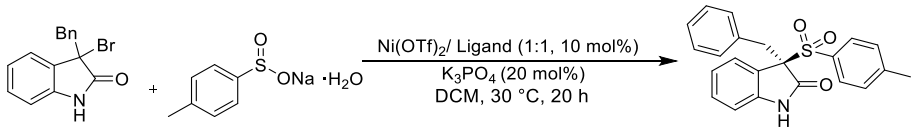
Circular Dichroism (mdeg)
200
100
0
-100
-200
-300
300
320
340
360
380
Wavelength (nm)
HO
O
O
S
O
N
H

12 Er values with different linker length

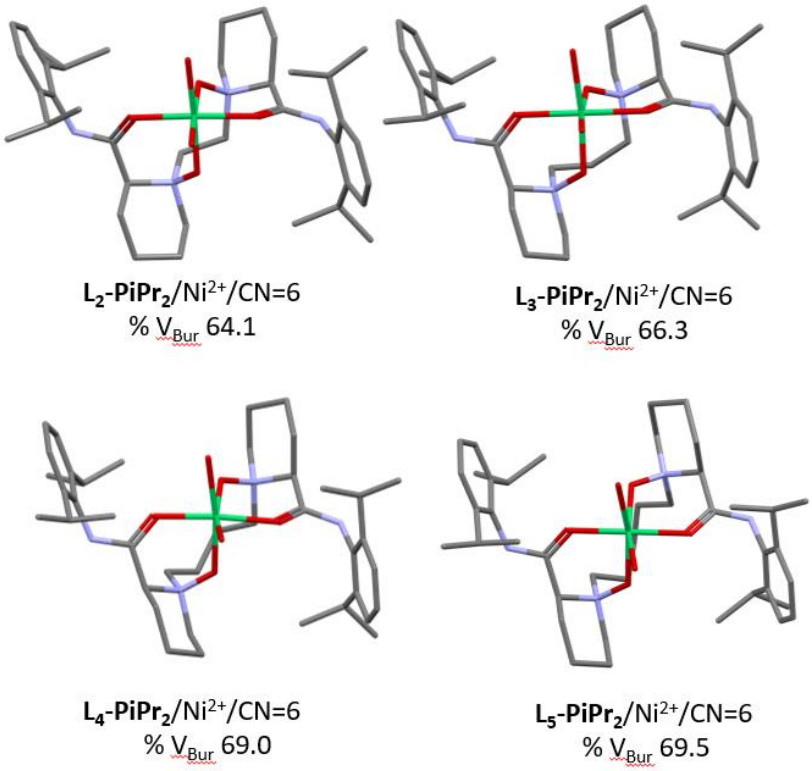
Table S12. Comparison of different linker length



A1 **B1a** **C1**

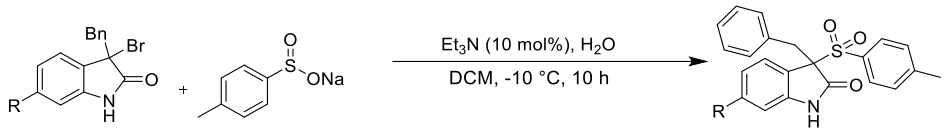
entry[a]	Ligand	yield (%)[b]	er[c]
1	**L_2-PiPr$_2$**	74	75:25
2	**L_3-PiPr$_2$**	67	63:35
3	**L_4-PiPr$_2$**	65	39:61
4	**L_5-PiPr$_2$**	60	28:72

[a]The reactions were performed with **A1** (0.10 mmol), **B1a** (0.11 mmol), K_3PO_4 (20 mol %) and Ni(OTf)$_2$/ Ligand (1:1, 10 mol %) in DCM (1.0 mL) at 30 °C for 20 h. [b]Yield of the isolated product. [c] Er values were determined by HPLC.



13 Control experiments

Competition experiments with **A1** and **A31**

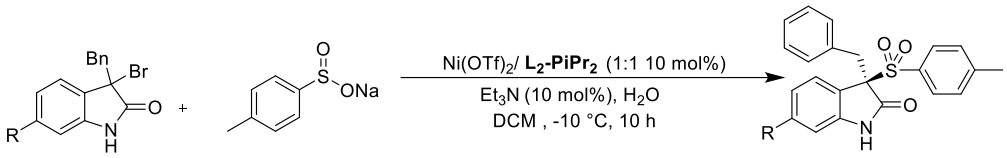


A1 R = H
A31 R = Br

B1

C1 R = H
C31 R = Br

An oven-dried test tube were charged with **A**1 (0.05 mmol), **A31** (0.05mmol), the sodium sulfinate salt **B1** (0.11 mmol, 1.1 equiv.), H_2O (20 μL), Et_3N (1.5 μL, 10 mol %), and anhydrous DCM (1.5 mL), and the mixture was stirred at -10 °C for 10 hours. After the reaction mixture was concentrated under reduced pressure, the crude product was subjected to flash column chromatography on silica gel and eluted with DCM/ethyl acetate (20/1) to afford the corresponding product **C1** (35% yield) and **C31** (87% yield).



A1 R = H
A31 R = Br

B1

C1 R = H
C31 R = Br

An oven-dried test tube were charged with $Ni(OTf)_2$ (3.6 mg, 0.01 mmol, 10 mol %), **L_2-PiPr$_2$** (6.4 mg, 0.01 mmol, 10 mol %), **A1** (0.05 mmol), **A31** (0.05mmol), and anhydrous DCM (1.5 mL), and the mixture was stirred at 35 °C for 30 minutes. Subsequently, the mixture was cooled to -10 °C, then the sodium sulfinate salt **B1** (0.11 mmol, 1.1 equiv.), H_2O (20 μL), Et_3N (1.5 μL, 10 mol %) was added and the reaction was performed at -10 °C for 10 hours. The reaction mixture was concentrated under reduced pressure, the crude product was subjected to flash column chromatography on silica gel and eluted with DCM/ethyl acetate (20/1) to afford the corresponding product **C1** (56% yield, 94:6 er) and **C31** (25% yield, 73:27 er).

14 Reference

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4. Sheldrick, G. M. Acta Cryst. 2008, A64, 112–122.
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