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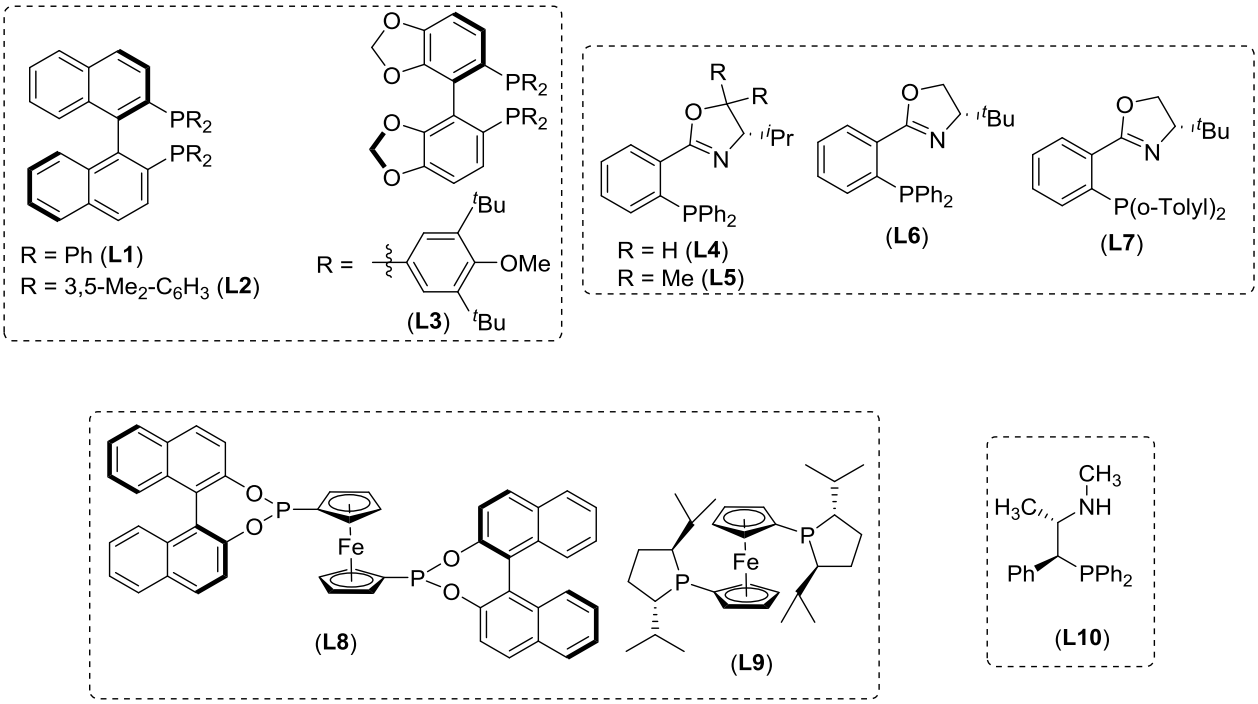
1. General Information

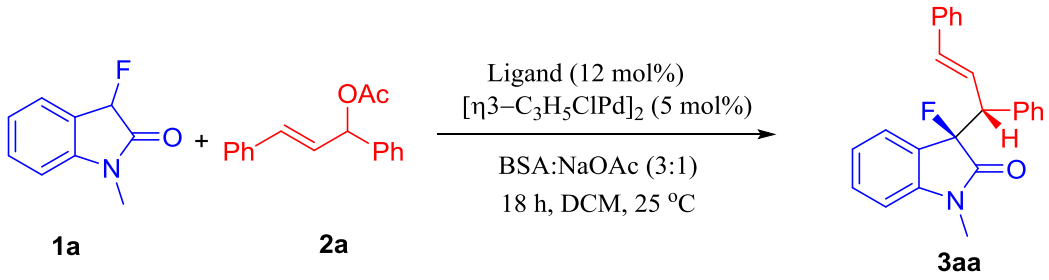
Commercially available ligands, catalysts, (*E*)-1,3-diphenylallyl acetate **2a**, 2-oxindole, 1-methyl-2-oxindole, 1-phenyl-2-oxindole, 5-chloro-2-oxindole, (ethene-1,1-diyldisulfonyl)dibenzene, reagents and solvents were used as purchased without further purification. 3-Fluoro-3-(2,2,2-trifluoro-1,1-dihydroxyethyl)indolin-2-ones **10**,[1] 3-fluorooxindoles **4**,[2] (*E*)-1,3-diarylallyl acetates **2**[3], *tert*-butyl cyclohex-2-en-1-yl carbonate **2i**[4] and $YbI_3(THF)_3$[5] were synthesized by following the literature procedure. NMR spectra were obtained at 400 MHz (^{1}H NMR), 376 MHz (^{19}F NMR) and 100 MHz (^{13}C NMR) in deuterated chloroform. Chemical shifts are reported in ppm relative to TMS. Reaction products were purified by column chromatography on silica gel (particle size 40-63 μm) as described below.



Structures of ligands tested

2. Reaction Optimization

2.1. Ligand Screening[a]

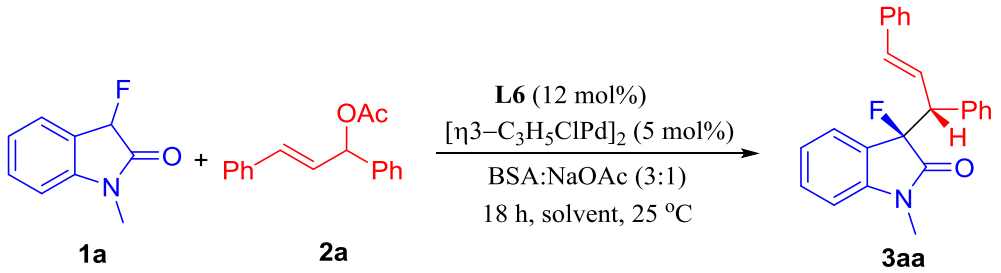


1a **2a** **3aa**

Entry	Ligand	Yield of 3aa (%)[b]	dr[c]	ee (%)[d] major	ee (%)[d] minor
1	**L1**	89	2.18 : 1	92	92
2	**L2**	88	1.53 : 1	86	94
3	**L3**	85	1.06 : 1	96	93
4	**L4**	98	2.69 : 1	98	96
5	**L5**	99	2.66 : 1	98	96
6	**L6**	98	3.01 : 1	99	98
7	**L7**	93	2.48 : 1	30	28
8	**L8**	98	1.07 : 1	68	60
9	**L9**	96	1.86 : 1	64	72
10	**L10**	91	2.34 : 1	-38	-28

[a] Reaction conditions: ligand (12 mol %), [(η^3-C_3H_5)ClPd]$_2$ (5 mol %), **1a** (0.2 mmol), **2a** (0.24 mmol), BSA (0.6 mmol) and NaOAc (0.2 mmol) in 0.5 mL of dichloromethane at 25 °C. [b] Isolated yield. [c] Determined by ^{19}F NMR spectroscopy of the crude reaction mixture. [d] Determined by chiral HPLC by using Chiralpak-IA column.

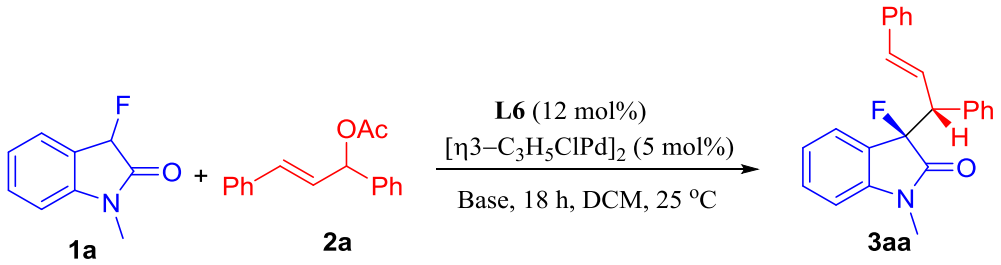
2.2. Solvent Screening[a]



Entry	Solvent	Yield of 3aa (%)[b]	dr[c]	ee (%)[d] major	ee (%)[d] minor
1	CH_2Cl_2	98	3.01 : 1	99	98
2	DCE	94	2.72 : 1	99	99
3	DME	8[5]	2.05 : 1	99	99
4	DMF	96	2.56 : 1	97.5	98
5	THF	97	2.13 : 1	99	98
6	Et_2O	96	2.15 : 1	98	96
7	TBME	48	2.23 : 1	97	96
8	Benzene	>99	1.94 : 1	98	97
9	Toluene	>99	1.92 : 1	98	96
10	1,4-Dioxane	62	1.76 : 1	97	96

[a] Reaction conditions: **L6** (12 mol %), [(η^3-C_3H_5)ClPd]$_2$ (5 mol %), **1a** (0.2 mmol), **2a** (0.24 mmol), BSA (0.6 mmol) and NaOAc (0.2 mmol) in 0.5 mL of solvent at 25 °C. [b] Isolated yield. [c] Determined by ^{19}F NMR spectroscopy of the crude reaction mixture. [d] Determined by chiral HPLC by using Chiralpak-IA column.

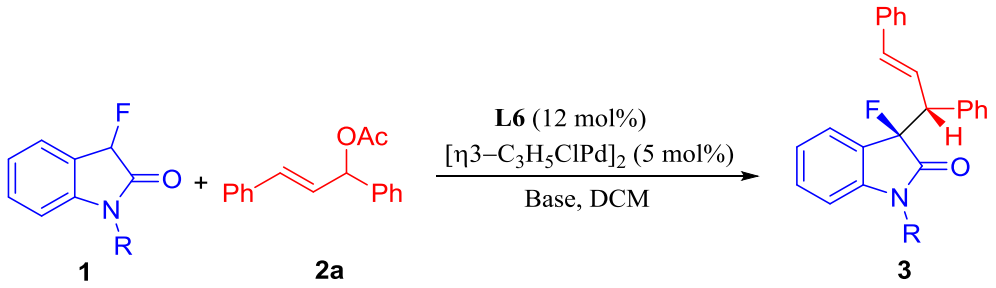
2.3. Inorganic Base Screening[a]



Entry	Base	Yield of 3aa (%)[b]	dr[c]	ee (%)[d] major	ee (%)[d] minor
1	NaOAc / BSA	98	3.01 : 1	99	98
2	KOAc / BSA	98	3.04 : 1	99	99
3	LiOAc / BSA	95	3.08 : 1	99	99
4	AgOAc / BSA	48	2.85 : 1	94	89
5	NH_4OAc / BSA	89	2.98 : 1	99	99
6	Cs_2CO_3 / BSA	88	3.07 : 1	99	99
7[e]	Cs_2CO_3	92	2.64 : 1	99	99
8[e]	K_2CO_3	89	3.02 : 1	99	99

[a] Reaction conditions: **L6** (12 mol %), [(η^3-C_3H_5)ClPd]$_2$ (5 mol %), **1a** (0.2 mmol), **2a** (0.24 mmol), BSA (0.6 mmol) and base (0.2 mmol) in 0.5 mL of DCM at 25 °C. [b] Isolated yield. [c] Determined by ^{19}F NMR spectroscopy of the crude reaction mixture. [d] Determined by chiral HPLC by using Chiralpak-IA column. [e] 0.4 mmol base was used.

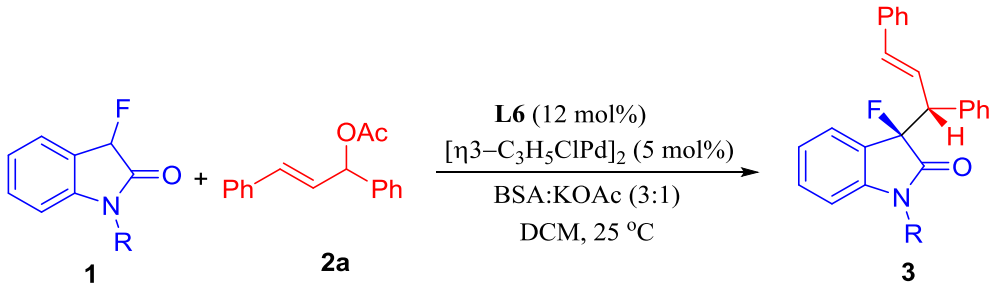
2.4. Organic Base Screening[a]



Entry	R	Base (equiv)	Temp (°C)	Time (h)	Yield of 3 (%)	dr	ee (%)	
							major	minor
1	Me	Et_3N (2)	25	18	>99	3.09 : 1	>99	99
2	Me	$^{i}Pr_2EtN$ (2)	25	18	91	2.86 : 1	>99	99
3	Me	DABCO (2)	25	18	64	2.43 : 1	>99	99
4	Me	DBU (2)	25	18	95	2.54 : 1	>99	99
5	Me	Et_3N:BSA (1:3)	25	18	>99	2.84 : 1	>99	99
6	Me	BSA:KOAc (3:1)	25	18	98	3.04 : 1	>99	99
7	Me	Pyridine (3)	25	72	23	3.1 : 1	99	99
8	Ph	Et_3N (2)	25	15	>99	4.7 : 1	>99	99
9	Ph	Et_3N (2)	0	24	>99	7 : 1	>99	>99
10	Ph	Et_3N (2)	-10	48	96	9.7 : 1	>99	>99
11	Ph	Et_3N (1.2)	-10	72	54	10 : 1	>99	>99
12	Ph	Et_3N (3)	-10	36	98	9.8 : 1	>99	>99
13	Ph	Et_3N (3)	-30	72	96	>19 : 1	>99	>99

[a] Reaction conditions: **L6** (12 mol %), $[(\eta^3\text{-}C_3H_5)ClPd]_2$ (5 mol %), **1** (0.2 mmol), **2a** (0.24 mmol) in 0.5 mL of DCM. [b] Isolated yield. [c] Determined by ^{19}F NMR spectroscopy of the crude reaction mixture. [d] Determined by chiral HPLC.

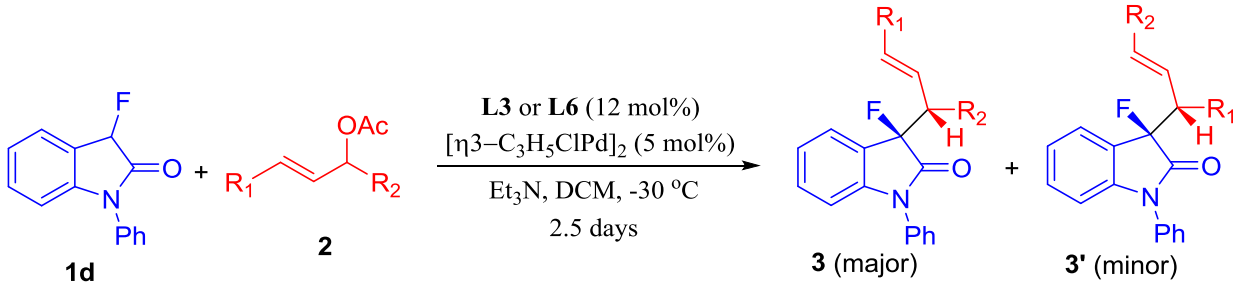
2.5. *N*-Protection of 3-Fluorooxindoles[a]



Entry	R	Temp (°C)	Time (h)	3	Yield of 3 (%)[b]	dr[c]	ee (%)[d] major	ee (%)[d] minor
1	Me	25	18	**3aa**	98	3.04 : 1	99	99
2	Me	-10	48	**3aa**	95	3.8 : 1	99	99
3	Bn	25	14	**3ba**	97	3.31 : 1	99	>99
4	Bn	-10	48	**3ba**	85	4.21 : 1	>99	>99
5	Boc	25	16	**3ca**	96	4.05 : 1	99	99
6	Boc	-10	48	**3ca**	82	11.05 : 1	94	84
7	Ph	25	14	**3da**	93	4.7 : 1	99	>99
8	Ph	-10	48	**3da**	82	9.74 : 1	>99	>99

[a] Reaction conditions: **L6** (12 mol %), [(η^3-C_3H_5)ClPd]$_2$ (5 mol %), **1** (0.2 mmol), **2a** (0.24 mmol), BSA (0.6 mmol) and KOAc (0.2 mmol) in 0.5 mL of DCM. [b] Isolated yield. [c] Determined by ^{19}F NMR spectroscopy of the crude reaction mixture. [d] Determined by chiral HPLC.

2.6. AAA of Nonsymmetrically Substituted Allylic Acetates[a]



2j: R_1=Ph, R_2=2-*i*PrC_6H_4
2k: R_1=Ph, R_2=Me
2l: R_1=4-ClC_6H_4, R_2=Me
2m: R_1=2-thiophenyl, R_2=Me

3dj & **3dj'**: R_1=2-*i*PrC_6H_4, R_2=Ph
3dk & **3dj'**: R_1=Ph, R_2=Me
3dl & **3dl'**: R_1=4-ClC_6H_4, R_2=Me
3dm & **3dm'**: R_1=2-thiophenyl, R_2=Me

Entry	Acetate	Ligand	Yield[b]	*rs*[c]	dr[d] (3)	dr[d] (3')	ee (3)[e] major	ee (3)[e] minor	ee (3')[e] major	ee (3')[e] minor
1	**2j**	**L6**	98	2.5:1	97:3	96:4	>99	>99	>99	>99
2	**2j**	**L3**	97	9:1	96:4	92:8	96	95	93	95
3	**2k**	**L3**	99	9:1	92:8	81:19	95	96	92	96
4	**2l**	**L3**	98	15:1	91:9	79:21	93	94	86	89
5	**2m**	**L3**	96	7:1	89:11	84:16	95	94	93	89

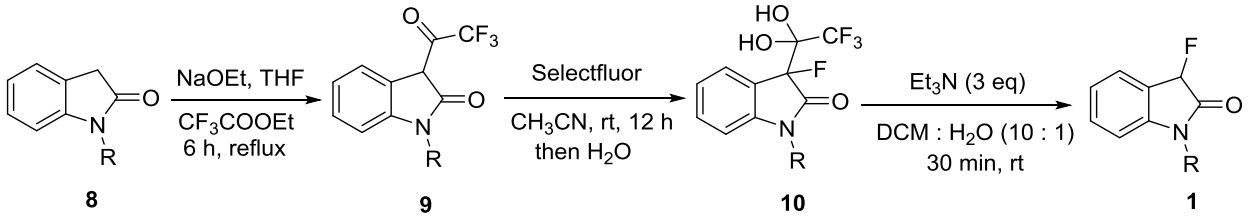
[a] Reaction conditions: **L** (12 mol %), [(η^3-C_3H_5)ClPd]$_2$ (5 mol %), **1d** (0.2 mmol), **2** (0.24 mmol) and Et_3N (0.6 mmol) in 0.5 mL of DCM. [b] Isolated yield of both regioisomers. [c] Regioselectivity **3**:**3'**. [d] Determined by ^{19}F NMR spectroscopy. [e] Determined by chiral HPLC.

3. Product Synthesis and Characterization

3.1. Synthesis of 3-Fluorooxindoles

3-Fluorooxindoles were prepared from 2-oxindoles by (a) trifluoroacetylation followed by fluorination using Selectfluor and base promoted removal of the trifluoroacetyl group or (b) direct fluorination with *N*-fluorobenzenesulfonimide.

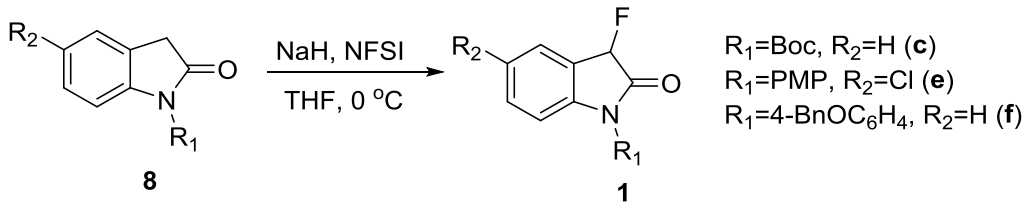
(a) Detrifluoroacetylation Method



R = Me (**a**), Bn (**b**), Ph (**d**)

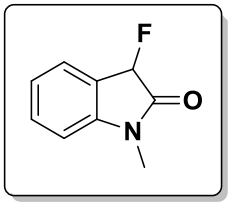
Method A: The geminal diols **10** were prepared as described in the literature.[1] The 3-fluorooxindoles **1a, 1b** and **1d** were then obtained by detrifluoroacetylative cleavage. To a solution of 3-fluoro-3-(2,2,2-trifluoro-1,1-dihydroxyethyl)indolin-2-ones **10** (5 mmol) in 30 mL of DCM and 3 mL of H_2O was added triethylamine (15 mmol) at room temperature and the mixture was stirred for 30 minutes. After full conversion was achieved based on ^{19}F NMR analysis, the reaction mixture was extracted with DCM and washed with water. The combined organic layers were dried over sodium sulfate and the solvent was removed *in vacuo*. The crude product was purified by flash chromatography on silica gel using with hexanes-ethyl acetate as mobile phase as described below.

(b) Direct Fluorination

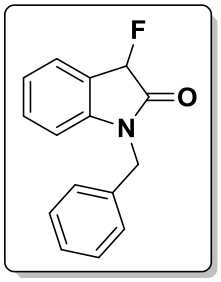


Method B: 3-Fluorooxindoles **1c**, **1e** and **1f** were prepared by direct fluorination using NaH and *N*-Fluorobenzenesulfonimide by following a literature procedure.[2] The crude product was

purified by flash chromatography on silica gel using with hexanes-ethyl acetate as mobile phase as described below.

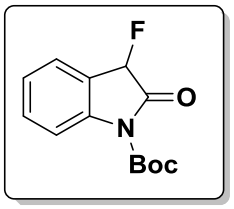


3-Fluoro-1-methylindolin-2-one (1a): Compound **1a** was obtained as a colorless solid in 99% yield (819 mg, 4.9 mmol) from 3-fluoro-1-methyl-3-(2,2,2-trifluoro-1,1-dihydroxyethyl)indolin-2-one (**3a**) (1.4 g, 5.0 mmol) by following method A. mp: 69-70 °C; R_f = 0.3 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.45 (d, *J* = 7.4 Hz, 1H), 7.39 (dd, *J* = 7.6, 7.4 Hz, 1H), 7.11 (dd, *J* = 7.5, 7.4 Hz, 1H), 6.83 (d, *J* = 7.8 Hz, 1H), 5.66 (d, *J* = 51.0 Hz, 1H), 3.18 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.0 (d, $J_{C\text{-}F}$ = 18.1 Hz), 144.7 (d, $J_{C\text{-}F}$ = 5.1 Hz), 131.4 (d, $J_{C\text{-}F}$ = 3.3 Hz), 126.0 (d, $J_{C\text{-}F}$ = 1.4 Hz), 123.2 (d, $J_{C\text{-}F}$ = 2.9 Hz), 122.7 (d, $J_{C\text{-}F}$ = 16.2 Hz), 108.7 (d, $J_{C\text{-}F}$ = 1.5 Hz), 85.4 (d, $J_{C\text{-}F}$ = 188.3 Hz), 26.2; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -193.4 (d, *J* = 51.0 Hz); Anal. Calcd. for C_9H_8FNO: C, 65.45; H, 4.88; N, 8.48. Found: C, 65.41; H, 4.75; N, 8.31.

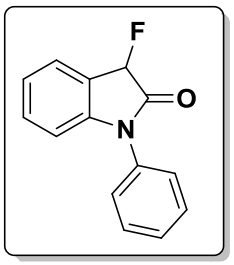


1-Benzyl-3-fluoroindolin-2-one (1b): Compound **1b** was obtained as a colorless solid in 98% yield (708 mg, 2.9 mmol) from 1-benzyl-3-fluoro-3-(2,2,2-trifluoro-1,1-dihydroxyethyl)indolin-2-one (1.0 g, 3.0 mmol) by following method A. mp: 87 °C; R_f = 0.4 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.46 (d, *J* = 7.2 Hz, 1H), 7.41 – 7.22 (m, 6H), 7.07 (m, 1H), 6.72 (d, *J* = 7.9 Hz, 1H), 5.76 (d, *J* = 51.0 Hz, 1H), 5.00 – 4.78 (m, 2H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.2 (d, $J_{C\text{-}F}$ = 18.1 Hz), 143.9 (d, $J_{C\text{-}F}$ = 5.1 Hz), 134.9, 131.4 (d, $J_{C\text{-}F}$ = 3.3 Hz), 128.9, 127.9, 127.3, 126.1 (d, $J_{C\text{-}F}$ = 1.4 Hz), 123.3 (d, $J_{C\text{-}F}$ = 2.9 Hz), 122.8 (d, $J_{C\text{-}F}$ = 16.3

Hz), 109.8 (d, $J_{C\text{-}F}$ = 1.5 Hz), 85.5 (d, $J_{C\text{-}F}$ = 188.5 Hz), 43.8; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -192.6 (d, *J* = 51.0 Hz); Anal. Calcd. for $C_{15}H_{12}FNO$: C, 74.68; H, 5.01; N, 5.81. Found: C, 74.77; H, 5.03; N, 5.95.

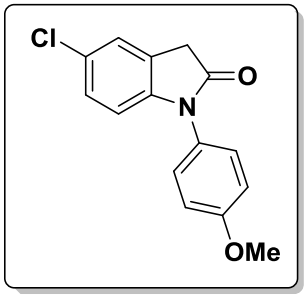


***N*-^{t}Boc-3-fluoro-2-oxoindoline (1c).**[2] Compound **1c** was obtained as a colorless solid in 34% yield (0.7 g, 4.9 mmol) from *N*-^{t}Boc-2-oxoindoline (2.0 g, 2.9 mmol) by following method A. mp: 105-106 °C; R_f = 0.4 (hexanes/EtOAc, 9:1); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.88 (d, *J* = 8.2 Hz, 1H), 7.50 (d, *J* = 7.4 Hz, 1H), 7.45 (dd, *J* = 8.2, 8.2 Hz, 1H), 7.23 (dd, *J* = 7.6, 7.5 Hz, 1H), 5.71 (d, *J* = 51.3 Hz, 1H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 168.9 (d, $J_{C\text{-}F}$ = 18.0 Hz), 148.6, 140.9 (d, $J_{C\text{-}F}$ = 5.0 Hz), 131.7 (d, $J_{C\text{-}F}$ = 3.5 Hz), 125.9 (d, $J_{C\text{-}F}$ = 1.3 Hz), 125.0 (d, $J_{C\text{-}F}$ = 3.0 Hz), 121.7 (d, $J_{C\text{-}F}$ = 16.6 Hz), 115.6 (d, $J_{C\text{-}F}$ = 1.6 Hz), 85.1, 85.0 (d, $J_{C\text{-}F}$ = 188.4 Hz), 28.0; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -187.1 (d, *J* = 51.2 Hz).

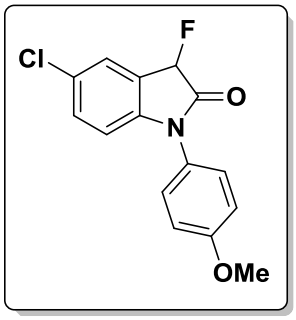


3-Fluoro-1-phenylindolin-2-one (1d). Compound **1d** was obtained as a colorless solid in 98% yield (1.1 g, 4.9 mmol) from 3-fluoro-1-phenyl-3-(2,2,2-trifluoro-1,1-dihydroxyethyl)indolin-2-one (1.7 g, 5.0 mmol) by following method A. mp: 111-112 °C; R_f = 0.5 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.58 – 7.51 (m, 3H), 7.48 – 7.39 (m, 3H), 7.34 (dd, *J* = 7.9, 7.8 Hz, 1H), 7.16 (dd, *J* = 7.6, 7.5 Hz, 1H), 6.82 (d, *J* = 8.0 Hz, 1H), 5.84 (d, *J* = 51.0 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 170.3 (d, $J_{C\text{-}F}$ = 18.0 Hz), 144.9 (d, $J_{C\text{-}F}$ = 5.0 Hz), 133.5, 131.4 (d, $J_{C\text{-}F}$ = 3.4 Hz), 129.8, 128.5, 126.4 (d, $J_{C\text{-}F}$ = 1.4 Hz), 126.3, 123.7 (d, $J_{C\text{-}F}$ = 2.9 Hz), 122.6 (d, $J_{C\text{-}F}$ = 16.3 Hz), 110.1 (d, $J_{C\text{-}F}$ = 1.5 Hz), 85.6 (d, $J_{C\text{-}F}$ = 188.8 Hz); ^{19}F NMR (376

MHz, chloroform-*d*) δ = -191.7 (d, *J* = 51.1 Hz); Anal. Calcd. for $C_{14}H_{10}FNO$: C, 74.00; H, 4.44; N, 6.16. Found: C, 73.82; H, 4.39; N, 6.12.

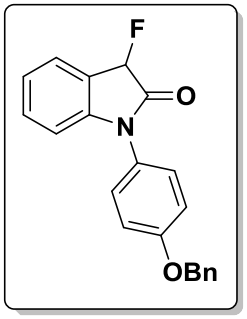


5-Chloro-1-(4-methoxyphenyl)indolin-2-one (8e). Compound **8e** was obtained as a colorless solid in 76% yield (1.2 g, 4.5 mmol) from 5-chloroindolin-2-one (1.0 g, 6.0 mmol) by following a literature procedure.[6] mp: 130-131 °C; R_f = 0.4 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.30 – 7.24 (m, 3H), 7.17 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.06 – 7.00 (m, 2H), 6.64 (d, *J* = 8.4 Hz, 1H), 3.85 (s, 3H), 3.68 (t, *J* = 1.1 Hz, 2H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 174.0, 159.3, 144.2, 127.9, 127.9, 127.7, 126.7, 125.8, 124.9, 115.0, 110.1, 55.5, 35.8; Anal. Calcd. for $C_{15}H_{12}ClNO_2$: C, 65.82; H, 4.42; N, 5.12. Found: C, 66.04; H, 4.51; N, 5.12.



5-Chloro-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (1e). Compound **1e** was obtained as a colorless solid in 37% yield (357 mg, 1.2 mmol) from 5-chloro-1-(4-methoxyphenyl)indolin-2-one (0.9 g, 3.3 mmol) by following method B. mp: 153 °C; R_f = 0.5 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.33 – 7.23 (m, 4H), 7.08 – 7.01 (m, 2H), 6.69 (dd, *J* = 8.5, 1.4 Hz, 1H), 5.80 (d, *J* = 50.7 Hz, 1H), 3.86 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 170.0 (d, $J_{C\text{-}F}$ = 17.9 Hz), 159.7, 143.8 (d, $J_{C\text{-}F}$ = 4.8 Hz), 131.3 (d, $J_{C\text{-}F}$ = 3.1 Hz), 129.8, 129.4, 127.6, 126.6 (d, $J_{C\text{-}F}$ = 1.3 Hz), 123.9 (d, $J_{C\text{-}F}$ = 16.1 Hz), 115.2, 111.0 (d, $J_{C\text{-}F}$ = 1.3 Hz), 85.0 (d,

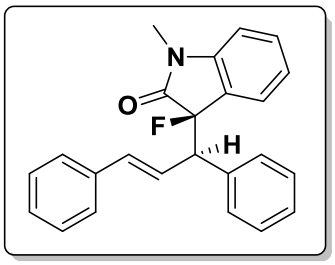
$J_{C\text{-}F}$ = 190.7 Hz), 55.6; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -192.7 (d, *J* = 50.8 Hz); Anal. Calcd. for $C_{15}H_{11}ClFNO_2$: C, 61.76; H, 3.80; N, 4.80. Found: C, 61.97; H, 3.89; N, 4.78.



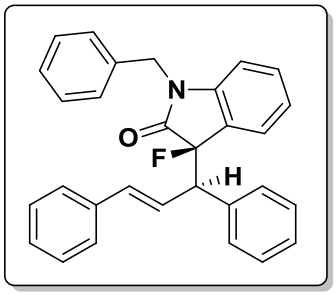
1-(4-(Benzyloxy)phenyl)-3-fluoroindolin-2-one (1f). Compound **1f** was obtained as a colorless solid in 49% yield (380 mg, 1.1 mmol) from 1-(4-(benzyloxy)phenyl)indolin-2-one (730 g, 2.3 mmol) by following method B. mp: 104-105 °C; R_f = 0.4 (hexanes/EtOAc, 8:2); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.52 (d, *J* = 7.4 Hz, 1H), 7.49 – 7.37 (m, 4H), 7.37 – 7.27 (m, 4H), 7.18 – 7.06 (m, 3H), 6.76 (d, *J* = 7.9 Hz, 1H), 5.81 (d, *J* = 51.0 Hz, 1H), 5.11 (s, 2H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 170.5 (d, $J_{C\text{-}F}$ = 17.9 Hz), 158.7, 145.2 (d, $J_{C\text{-}F}$ = 5.0 Hz), 136.5, 131.3 (d, $J_{C\text{-}F}$ = 3.4 Hz), 128.7, 128.1, 127.7, 127.4, 126.3 (d, $J_{C\text{-}F}$ = 1.4 Hz), 126.2, 123.6 (d, $J_{C\text{-}F}$ = 2.8 Hz), 122.5 (d, $J_{C\text{-}F}$ = 16.2 Hz), 116.0, 110.0 (d, $J_{C\text{-}F}$ = 1.5 Hz), 85.5 (d, $J_{C\text{-}F}$ = 188.7 Hz), 70.3; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -191.9 (d, *J* = 51.1 Hz); Anal. Calcd. for $C_{21}H_{16}FNO_2$: C, 75.66; H, 4.84; N, 4.20. Found: C, 75.52; H, 4.97; N, 4.41.

3.2. Catalytic Asymmetric Allylic Alkylation Procedure

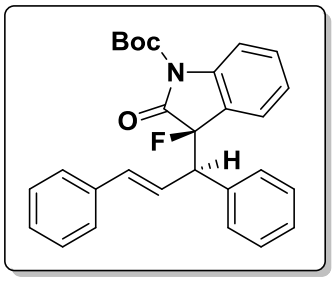
A mixture of the phosphine oxazoline (PHOX) ligand (0.024 mmol, 12 mol%) and [Pd (η^3-C_3H_5)Cl]$_2$ (0.01 mmol, 5 mol%) in dry DCM (0.5 mL) was stirred at room temperature under N_2 atmosphere for 1 hour and allyl acetate (0.24 mmol) was added at -30 ^{o}C (unless noted otherwise) followed by the addition of 3-fluorooxindole (0.2 mmol) and triethylamine (0.6 mmol). The resulting mixture was stirred at -30 ^{o}C for 2 to 4 days and the reaction was monitored by ^{19}F NMR for the disappearance of 3-fluorooxindole. The crude product was purified by flash chromatography on silica gel using hexanes-ethyl acetate as mobile phase as described below.



(*R*)-3-((*R*,*E*)-1,3-Diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa). Compound **3aa** was obtained as a colorless solid in 98% yield (70 mg, 0.19 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and 3-fluoro-1-methylindolin-2-one (33 mg, 0.2 mmol) after 2 days at -10 ºC by following the general procedure described above. mp: 96-97 °C; R_f = 0.3 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 99:1, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 24.8 min, t_R (major) = 29.1 min; Minor diastereomer >99% ee, t_R (major) = 30.9 min, t_R (minor) = 46.2 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.47 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.43 (dd, *J* = 7.6, 1.5 Hz, 2H), 7.37 – 7.32 (m, 2H), 7.30 (m, 1H), 7.28 – 7.23 (m, 2H), 7.16 – 7.06 (m, 3H), 6.90 – 6.85 (m, 2H), 6.81 (dd, *J* = 15.9, 7.4 Hz, 1H), 6.64 – 6.58 (m, 2H), 4.40 (dd, *J* = 12.2, 7.5 Hz, 1H), 2.80 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.2 (d, J_{C-F} = 21.2 Hz), 144.4 (d, J_{C-F} = 5.8 Hz), 137.0, 135.4, 134.8 (d, J_{C-F} = 1.1 Hz), 131.2 (d, J_{C-F} = 2.6 Hz), 129.6 (d, J_{C-F} = 1.7 Hz), 129.3, 128.6, 128.0, 127.7, 126.4, 125.8, 125.0 (d, J_{C-F} = 1.5 Hz), 124.3 (d, J_{C-F} = 19.3 Hz), 122.8 (d, J_{C-F} = 2.5 Hz), 108.4, 94.7 (d, J_{C-F} = 196.4 Hz), 54.1 (d, J_{C-F} = 26.7 Hz), 25.7; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.9 (d, *J* = 9.8 Hz, minor diastereomer), -158.5 (d, *J* = 13.1 Hz, major diastereomer); Anal. Calcd. for $C_{24}H_{20}FNO$: C, 80.65; H, 5.64; N, 3.92. Found: C, 80.69; H, 5.71; N, 3.71.

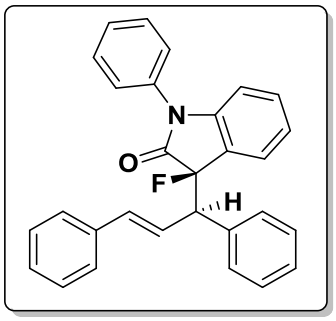


(*R*)-1-Benzyl-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba). Compound **3ba** was obtained as a colorless solid in 95% yield (82 mg, 0.19 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and 1-benzyl-3-fluoroindolin-2-one (48 mg, 0.2 mmol) after 3 days by following the general procedure described above. mp: 126 °C; R_f = 0.5 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 23.9 min, t_R (major) = 43.3 min; Minor diastereomer >99% ee, t_R (major) = 31.8 min, t_R (minor) = 34.2 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.61 (d, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 7.3 Hz, 2H), 7.36 (dd, *J* = 7.2, 1.5 Hz, 2H), 7.32 – 7.23 (m, 3H), 7.18 – 7.14 (m, 3H), 7.12 – 7.08 (m, 3H), 6.96 (dd, *J* = 7.5, 1.5 Hz, 2H), 6.87 (dd, *J* = 15.9, 7.3 Hz, 1H), 6.66 (d, *J* = 15.9 Hz, 1H), 6.47 – 6.43 (m, 3H), 5.04 (d, *J* = 16.0 Hz, 1H), 4.57 (dd, *J* = 12.3, 7.4 Hz, 1H), 4.25 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.3 (d, J_{C-F} = 21.2 Hz), 143.9 (d, J_{C-F} = 5.6 Hz), 136.9, 135.3 (d, J_{C-F} = 9.1 Hz), 134.9, 134.5, 131.3 (d, J_{C-F} = 2.8 Hz), 129.8, 128.6, 128.5, 127.7 (d, J_{C-F} = 1.4 Hz), 127.3, 126.9, 126.7, 126.5, 126.4, 126.0, 125.2 (d, J_{C-F} = 1.4 Hz), 124.3 (d, J_{C-F} = 19.3 Hz), 123.0 (d, J_{C-F} = 2.6 Hz), 109.8, 94.4 (d, J_{C-F} = 194.3 Hz), 53.9 (d, J_{C-F} = 26.9 Hz), 43.7; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -152.8 (d, *J* = 9.4 Hz, minor diastereomer), -153.1 (d, *J* = 12.3 Hz, major diastereomer); Anal. Calcd. for $C_{30}H_{24}FNO$: C, 83.12; H, 5.58; N, 3.23. Found: C, 82.96; H, 5.72; N, 3.42.



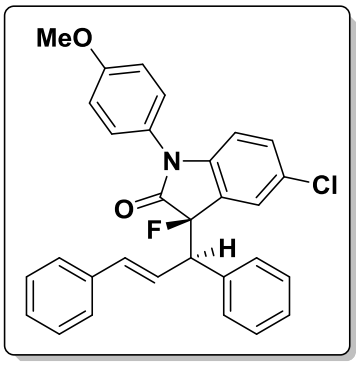
***N*-^{t}Boc-(*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-2-oxoindoline (3ca).** Compound **3ca** was obtained as a colorless solid in 96% yield (85 mg, 0.19 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and *tert*-butyl 3-fluoro-2-oxoindoline-1-carboxylate (50 mg, 0.2 mmol) after 16 hours at 25 ºC by following the general procedure described above. mp: 47-48 °C; R_f = 0.6 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA and Lux Cellulose-3 connected together, hexanes/EtOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major

diastereomer >99% ee, t_R (minor) = 23.5 min, t_R (major) = 27.7 min; Minor diastereomer >99% ee, t_R (major) = 25.8 min, t_R (minor) = 31.8 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.67 (d, *J* = 8.2 Hz, 1H), 7.49 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.44 – 7.40 (m, 2H), 7.39 – 7.30 (m, 2H), 7.28 – 7.24 (m, 2H), 7.24 – 7.18 (m, 2H), 7.17 – 7.06 (m, 2H), 6.88 – 6.81 (m, 2H), 6.74 (dd, *J* = 15.2, 7.6 Hz, 1H), 6.63 (m, 1H), 4.39 (dd, *J* = 13.1, 7.2 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 170.8 (d, J_{C-F} = 21.3 Hz), 169.9, 148.0, 140.6 (d, J_{C-F} = 5.5 Hz), 136.9, 135.2 (d, J_{C-F} = 1.0 Hz), 132.6, 131.4 (d, J_{C-F} = 2.5 Hz), 129.3 (d, J_{C-F} = 0.8 Hz), 128.6, 128.3, 127.8 (d, J_{C-F} = 1.6 Hz), 126.5, 125.6, 124.5 (d, J_{C-F} = 2.4 Hz), 124.3, 123.1 (d, J_{C-F} = 19.8 Hz), 115.2, 94.3 (d, J_{C-F} = 198.2 Hz), 84.3, 55.0 (d, J_{C-F} = 27.2 Hz), 27.9; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -152.8 (d, *J* = 9.5 Hz, minor diastereomer), -154.3 (d, *J* = 12.9 Hz, major diastereomer); Anal. Calcd. for $C_{28}H_{26}FNO_3$: C, 75.83; H, 5.91; N, 3.16. Found: C, 76.11; H, 6.23; N, 3.35.

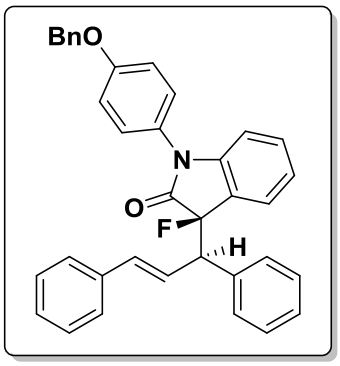


(*R*)-3-((*R*,*E*)-1,3-Diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da). Compound **3da** was obtained as a colorless solid in 96% yield (80 mg, 0.19 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 3 days by following the general procedure described above. mp: 75-76 °C; R_f = 0.4 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 95:5, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 14.8 min, t_R (major) = 22.6 min; Minor diastereomer >99% ee, t_R (major) = 21.5 min, t_R (minor) = 24.7 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.62 (d, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 7.6 Hz, 2H), 7.38 – 7.28 (m, 7H), 7.23 – 7.13 (m, 4H), 6.92 (d, *J* = 7.6 Hz, 2H), 6.84 (dd, *J* = 15.9, 7.3 Hz, 1H), 6.79 – 6.65 (m, 3H), 6.52 (d, *J* = 7.9 Hz, 1H), 4.53 (dd, *J* = 12.3, 7.5 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.6 (d, J_{C-F} = 21.2 Hz), 144.8 (d, J_{C-F} = 5.7 Hz), 137.0, 135.3 (d, J_{C-F} = 8.8 Hz), 135.1, 133.2, 131.1 (d, J_{C-F} = 2.4 Hz), 129.6, 128.6, 128.5, 128.4, 128.2, 128.1, 127.8 (d, J_{C-F} = 1.7 Hz), 126.5, 126.4, 126.0, 124.7, 123.8 (d, J_{C-F} = 19.7 Hz), 123.2 (d, J_{C-F} = 2.3 Hz), 109.6, 95.0 (d, J_{C-F}

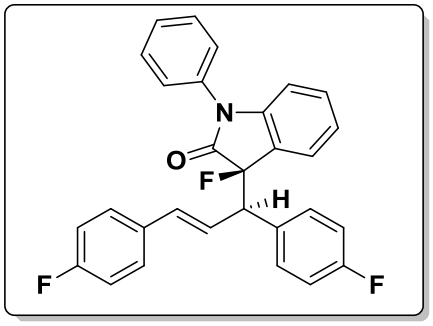
= 198.3 Hz), 54.6 (d, $J_{C\text{-}F}$ = 26.9 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.9 (d, *J* = 9.2 Hz, minor diastereomer), -158.9 (d, *J* = 12.3 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{22}FNO$: C, 83.03; H, 5.29; N, 3.34. Found: C, 83.19; H, 5.37; N, 3.38.



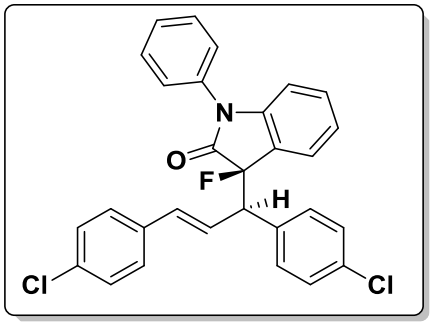
(*R*)-5-Chloro-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea). Compound **3ea** was obtained as a colorless solid in 92% yield (89 mg, 0.18 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and 5-chloro-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (58 mg, 0.2 mmol) after 4 days by following the general procedure described above. mp: 148-149 °C; R_f = 0.4 (hexanes/EtOAc, 4:1); The ee's were determined by HPLC (Lux Amylose-1, hexanes/EtOH, 95:5, flow rate 1.0 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 9.1 min, t_R (major) = 17.9 min; Minor diastereomer >99% ee, t_R (major) = 15.4 min, t_R (minor) = 21.7 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.56 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.50 – 7.44 (m, 2H), 7.40 – 7.34 (m, 3H), 7.33 – 7.22 (m, 4H), 7.21 – 7.14 (m, 2H), 6.97 – 6.91 (m, 2H), 6.86 (dd, *J* = 7.6, 1.5 Hz, 1H), 6.77 – 6.71 (m, 2H), 6.57 (d, *J* = 8.7 Hz, 1H), 6.38 (m, 1H), 4.49 (dd, *J* = 12.2, 7.3 Hz, 1H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.4 (d, $J_{C\text{-}F}$ = 21.1 Hz), 159.6, 143.7 (d, $J_{C\text{-}F}$ = 5.2 Hz), 136.8, 135.7, 135.0 (d, $J_{C\text{-}F}$ = 8.7 Hz), 131.5, 131.1 (d, $J_{C\text{-}F}$ = 2.3 Hz), 129.5, 128.7, 128.6 (d, $J_{C\text{-}F}$ = 6.1 Hz), 128.4, 127.9 (d, $J_{C\text{-}F}$ = 2.9 Hz), 127.8 (d, $J_{C\text{-}F}$ = 1.8 Hz), 127.7, 126.5, 126.1, 125.2, 123.8, 115.0, 110.5, 94.7 (d, $J_{C\text{-}F}$ = 199.9 Hz), 55.5, 54.5 (d, $J_{C\text{-}F}$ = 26.8 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -159.3 (d, *J* = 12.4 Hz, minor diastereomer), -159.5 (d, *J* = 12.6 Hz, major diastereomer); Anal. Calcd. for $C_{30}H_{23}ClFNO_2$: C, 74.45; H, 4.79; N, 2.89. Found: C, 74.53; H, 4.87; N, 2.91.



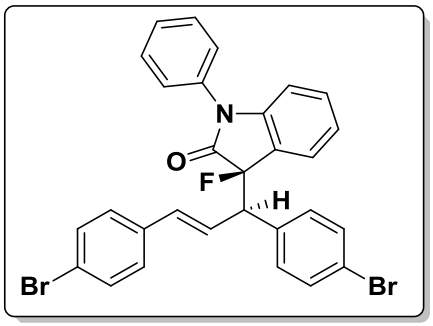
(*R*)-1-(4-(Benzyloxy)phenyl)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa). Compound **3fa** was obtained as a colorless solid in 91% yield (95 mg, 0.18 mmol) from (*E*)-1,3-diphenylallyl acetate (60 mg, 0.24 mmol) and 1-(4-(benzyloxy)phenyl)-3-fluoroindolin-2-one (67 mg, 0.2 mmol) after 4 days by following the general procedure described above. mp: 138-139 °C; R_f = 0.4 (hexanes/EtOAc, 4:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 96:4, flow rate 1.0 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 18.2 min, t_R (major) = 40.2 min; Minor diastereomer >99% ee, t_R (major) = 37.7 min, t_R (minor) = 53.5 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.60 (d, *J* = 7.4 Hz, 1H), 7.47 (d, *J* = 7.6 Hz, 2H), 7.44 – 7.31 (m, 7H), 7.31 – 7.18 (m, 3H), 7.18 – 7.11 (m, 3H), 6.92 (dd, *J* = 7.5, 7.4 Hz, 2H), 6.91 (dd, *J* = 7.6, 7.4 Hz, 2H), 6.82 (dd, *J* = 15.8, 7.5 Hz, 1H), 6.69 (d, *J* = 15.8 Hz, 1H), 6.63 – 6.56 (m, 2H), 6.46 (d, *J* = 7.8 Hz, 1H), 5.04 (s, 2H), 4.50 (dd, *J* = 12.3, 7.4 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.8 (d, J_{C-F} = 21.1 Hz), 158.6, 145.1 (d, J_{C-F} = 5.5 Hz), 137.0, 136.5, 135.3 (d, J_{C-F} = 8.9 Hz), 135.1, 131.1 (d, J_{C-F} = 2.4 Hz), 129.5, 128.6, 128.5 (d, J_{C-F} = 3.6 Hz), 128.2, 128.1, 127.9, 127.8, 127.7, 127.4, 126.5, 125.9, 125.9, 124.7, 123.7 (d, J_{C-F} = 19.7 Hz), 123.1 (d, J_{C-F} = 2.3 Hz), 115.8, 109.5, 95.0 (d, J_{C-F} = 198.4 Hz), 70.2, 54.5 (d, J_{C-F} = 26.9 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -157.1 (d, *J* = 9.1 Hz, minor diastereomer), -159.1 (d, *J* = 12.2 Hz, major diastereomer); Anal. Calcd. for $C_{36}H_{28}FNO_2$: C, 82.26; H, 5.37; N, 2.66. Found: C, 82.42; H, 5.36; N, 2.71.



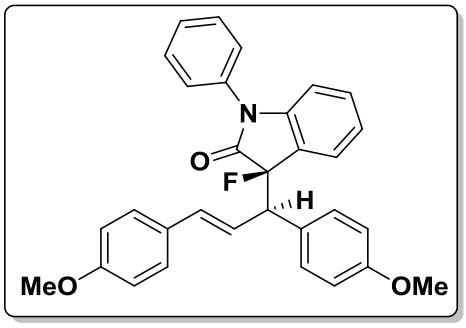
(*R*)-3-((*R*,*E*)-1,3-Bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db). Compound **3db** was obtained as a colorless solid in 98% yield (89 mg, 0.19 mmol) from (*E*)-1,3-bis(4-fluorophenyl)allyl acetate (69 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure described above. mp: 127-128 °C; R_f = 0.5 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 99:1, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 31.0 min, t_R (major) = 44.2 min; Minor diastereomer >99% ee, t_R (major) = 38.8 min, t_R (minor) = 51.3 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.58 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.47 – 7.28 (m, 6H), 7.17 (dd, *J* = 7.6, 7.5 Hz, 1H), 7.06 (dd, *J* = 7.6, 7.4 Hz, 2H), 6.91 – 6.84 (m, 4H), 6.83 – 6.78 (m, 2H), 6.72 (dd, *J* = 15.3, 7.8 Hz, 1H), 6.64 – 6.55 (m, 2H), 4.50 (dd, *J* = 12.3, 7.5 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*) δ = 171.4 (d, J_{C-F} = 21.2 Hz), 162.5 (d, J_{C-F} = 246.5 Hz), 162.3 (d, J_{C-F} = 246.3 Hz), 144.7 (d, J_{C-F} = 5.5 Hz), 134.0, 133.1, 133.0 (d, J_{C-F} = 3.3 Hz), 131.3 (d, J_{C-F} = 2.5 Hz), 131.2 (d, J_{C-F} = 8.0 Hz), 129.7, 128.5, 128.1, 128.0, 126.2, 125.9, 124.3, 123.6 (d, J_{C-F} = 19.7 Hz), 123.4 (d, J_{C-F} = 2.3 Hz), 115.6 (d, J_{C-F} = 21.7 Hz), 115.2 (d, J_{C-F} = 21.3 Hz), 109.8, 94.7 (d, J_{C-F} = 198.3 Hz), 53.7 (d, J_{C-F} = 27.2 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -113.8 (m, minor diastereomer), -114.0 (m, major diastereomer), -114.1 (m, major diastereomer), -114.5 (m, minor diastereomer), -156.8 (d, *J* = 9.5 Hz, minor diastereomer), -158.6 (d, *J* = 12.0 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{20}F_3NO$: C, 76.47; H, 4.43; N, 3.08. Found: C, 76.23; H, 4.52; N, 3.15.



(*R*)-3-((*R*,*E*)-1,3-Bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc). Compound **3dc** was obtained as a colorless solid in 98% yield (96 mg, 0.19 mmol) from (*E*)-1,3-bis(4-chlorophenyl)allyl acetate (77 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure described above. mp: 159-161 °C; R_f = 0.3 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 97:3, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 21.4 min, t_R (major) = 46.0 min; Minor diastereomer >99% ee, t_R (major) = 35.3 min, t_R (minor) = 38.4 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.54 (d, *J* = 7.4 Hz, 1H), 7.47 – 7.35 (m, 5H), 7.36 – 7.27 (m, 3H), 7.24 (m, 1H), 7.20 – 7.10 (m, 3H), 6.84 (d, *J* = 7.5, 1.6 Hz, 2H), 6.78 (d, *J* = 7.5, 1.5 Hz, 2H), 6.64 – 6.53 (m, 2H), 4.48 (dd, *J* = 12.4, 7.0 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.3 (d, J_{C-F} = 21.0 Hz), 144.6 (d, J_{C-F} = 5.5 Hz), 135.2, 134.1, 133.9, 133.6, 133.5, 133.0, 131.4 (d, J_{C-F} = 2.5 Hz), 130.9, 129.7, 128.9, 128.6, 128.4, 127.7, 126.2, 125.8, 124.8 , 123.4, 123.3 (d, J_{C-F} = 17.3 Hz), 109.9, 94.6 (d, J_{C-F} = 199.0 Hz), 53.8 (d, J_{C-F} = 27.3 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.7 (d, *J* = 9.1 Hz, minor diastereomer), -158.9 (d, *J* = 12.1 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{20}Cl_2FNO$: C, 71.32; H, 4.13; N, 2.87. Found: C, 71.36; H, 3.98; N, 2.84.

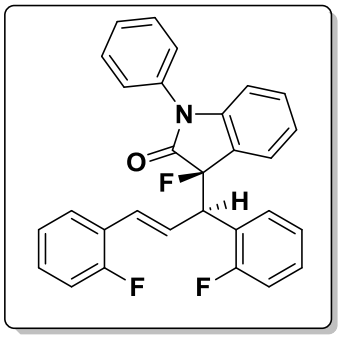


(*R*)-3-((*R*,*E*)-1,3-Bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd). Compound **3dd** was obtained as a colorless solid in 94% yield (108 mg, 0.18 mmol) from (*E*)-1,3-bis(4-bromophenyl)allyl acetate (98 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure described above. mp: 69-70 °C; R_f = 0.4 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 29.1 min, t_R (major) = 63.1 min; Minor diastereomer >99% ee, t_R (major) = 50.7 min, t_R (minor) = 48.0 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.54 (d, *J* = 7.5 Hz, 1H), 7.49 (d, *J* = 7.8 Hz, 2H), 7.41 (dd, *J* = 7.6, 1.5 Hz, 2H), 7.38 – 7.27 (m, 6H), 7.17 (dd, *J* = 15.2, 7.5 Hz, 1H), 6.82 – 6.73 (m, 5H), 6.62 – 6.54 (m, 2H), 4.46 (dd, *J* = 12.3, 7.5 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*) δ = 171.2 (d, J_{C-F} = 21.1 Hz), 144.6 (d, J_{C-F} = 5.5 Hz), 135.6, 134.2, 134.1 (d, J_{C-F} = 8.9 Hz), 132.9, 131.8, 131.4, 131.4, 131.2, 129.7, 128.6, 128.0, 126.2, 125.8, 124.9, 123.4 (d, J_{C-F} = 2.4 Hz), 123.3 (d, J_{C-F} = 19.7 Hz), 122.0, 121.8, 109.9, 94.6 (d, J_{C-F} = 199.1 Hz), 53.9 (d, J_{C-F} = 27.4 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.7 (d, *J* = 9.0 Hz, minor diastereomer), -159.1 (d, *J* = 12.2 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{20}Br_2FNO$: C, 60.34; H, 3.49; N, 2.43. Found: C, 60.39; H, 3.56; N, 2.61.



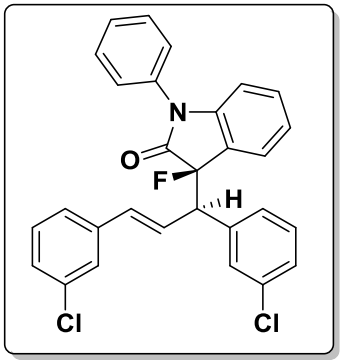
(*R*)-3-((*R*,*E*)-1,3-Bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de). Compound **3de** was obtained as a colorless solid in 94% yield (90 mg, 0.18 mmol) from (*E*)-1,3-bis(4-methoxyphenyl)allyl acetate (75 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 3 days by following the general procedure described above. mp: 149-150 °C; R_f = 0.4 (hexanes/EtOAc, 4:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 97:3, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 16.8 min, t_R (major) = 32.8 min; Minor diastereomer >99% ee, t_R (major) = 22.7 min,

t_R (minor) = 30.2 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.60 (d, *J* = 7.4 Hz, 1H), 7.43 – 7.20 (m, 8H), 7.15 (m, 1H), 6.92 – 6.86 (m, 2H), 6.83 – 6.76 (m, 3H), 6.71 – 6.59 (m, 3H), 6.53 (d, *J* = 7.9 Hz, 1H), 4.45 (dd, *J* = 12.3, 7.2 Hz, 1H), 3.82 (s, 3H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.8 (d, J_{C-F} = 21.3 Hz), 159.3, 159.1, 144.7 (d, J_{C-F} = 5.5 Hz), 134.2, 133.3, 131.0 (d, J_{C-F} = 2.4 Hz), 130.6, 129.9, 129.5, 128.4, 127.6, 127.3 (d, J_{C-F} = 9.1 Hz), 126.4, 126.0, 124.0 (d, J_{C-F} = 19.8 Hz), 123.2 (d, J_{C-F} = 2.3 Hz), 122.7, 114.0, 113.6, 109.6, 95.1 (d, J_{C-F} = 198.1 Hz), 55.4, 55.3, 53.7 (d, J_{C-F} = 26.7 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.6 (d, *J* = 9.6 Hz, minor diastereomer), -158.5 (d, *J* = 12.1 Hz, major diastereomer); Anal. Calcd. for $C_{31}H_{26}FNO_3$: C, 77.64; H, 5.47; N, 2.92. Found: C, 77.61; H, 5.58; N, 2.96.

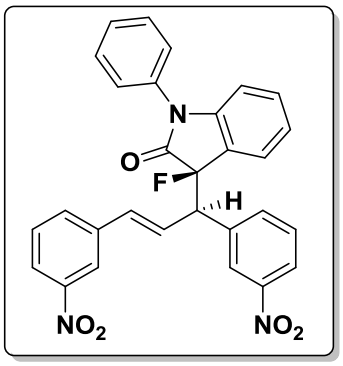


(*R*)-3-((*R*,*E*)-1,3-Bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df). Compound **3df** was obtained as a colorless solid in 94% yield (86 mg, 0.19 mmol) from (*E*)-1,3-bis(2-fluorophenyl)allyl acetate (69 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 3 days by following the general procedure described above. mp: 97-98 °C; R_f = 0.5 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 97/3, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 17.6 min, t_R (major) = 34.1 min; Minor diastereomer >99% ee, t_R (major) = 29.8 min, t_R (minor) = 36.3 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.51 (dd, *J* = 7.7, 1.6 Hz, 2H), 7.45 – 7.28 (m, 4H), 7.28 – 7.20 (m, 2H), 7.18 – 7.11 (m, 2H), 7.10 – 6.98 (m, 2H), 6.98 – 6.84 (m, 4H), 6.82 – 6.70 (m, 2H), 6.62 (d, *J* = 7.9 Hz, 1H), 4.93 (dd, *J* = 14.2, 7.8 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*) δ = 171.3 (d, J_{C-F} = 21.1 Hz), 160.8 (d, J_{C-F} = 248.2 Hz), 160.3 (d, J_{C-F} = 248.9 Hz), 144.6 (d, J_{C-F} = 5.5 Hz), 133.2 , 131.3 (d, J_{C-F} = 2.6 Hz), 129.9 (d, J_{C-F} = 3.2 Hz), 129.6 , 129.4 (d, J_{C-F} = 8.5 Hz), 129.1 (d, J_{C-F} = 8.5 Hz), 128.1 (d, J_{C-F} = 2.6 Hz), 128.0 (d, J_{C-F} = 3.7 Hz), 127.0 (d, J_{C-F} = 6.1 Hz), 126.3 , 126.2 , 124.6 (d, J_{C-F} = 12.0 Hz), 124.2 (d, J_{C-F} = 3.5 Hz), 124.0 (d, J_{C-F} = 19.6

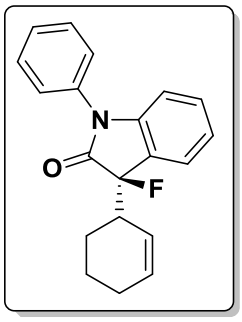
Hz), 123.8 (d, $J_{C\text{-}F}$ = 3.6 Hz), 123.4 (d, $J_{C\text{-}F}$ = 2.5 Hz), 122.9 (d, $J_{C\text{-}F}$ = 21.2 Hz), 122.8 (d, $J_{C\text{-}F}$ = 21.2 Hz), 116.0 (d, $J_{C\text{-}F}$ = 22.2 Hz), 115.8 (d, $J_{C\text{-}F}$ = 22.1 Hz), 109.7 , 94.3 (d, $J_{C\text{-}F}$ = 198.0 Hz), 46.9 (d, $J_{C\text{-}F}$ = 29.0 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -114.1 (m), -117.3 (m), -158.1 (d, *J* = 14.1 Hz); Anal. Calcd. for $C_{29}H_{20}F_3NO$: C, 76.47; H, 4.43; N, 3.08. Found: C, 76.39; H, 4.49; N, 3.12.



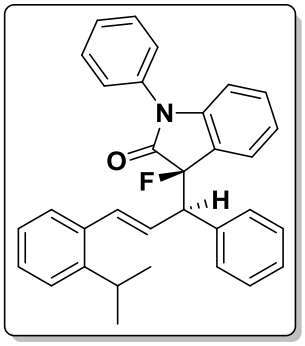
(*R*)-3-((*R*,*E*)-1,3-Bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg). Compound **3dg** was obtained as a colorless solid in 97% yield (95 mg, 0.19 mmol) from (*E*)-1,3-bis(3-chlorophenyl)allyl acetate (77 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure described above. mp: 129-130 °C; R_f = 0.3 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 22.1 min, t_R (major) = 50.3 min; Minor diastereomer >99% ee, t_R (major) = 44.9 min, t_R (minor) = 48.1 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.56 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.46 (s, 1H), 7.45 – 7.38 (m, 2H), 7.38 – 7.29 (m, 4H), 7.29 – 7.24 (m, 2H), 7.24 – 7.17 (m, 2H), 7.11 (dd, *J* = 7.6, 7.5 Hz, 1H), 6.90 – 6.75 (m, 4H), 6.66 – 6.56 (m, 2H), 4.48 (dd, *J* = 12.2, 7.4 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.2 (d, $J_{C\text{-}F}$ = 21.1 Hz), 144.6 (d, $J_{C\text{-}F}$ = 5.5 Hz), 138.5, 137.2 (d, $J_{C\text{-}F}$ = 8.6 Hz), 134.7, 134.3, 134.1, 133.0, 131.5 (d, $J_{C\text{-}F}$ = 2.5 Hz), 129.9, 129.7, 129.6, 129.3, 128.5, 128.1, 127.9, 127.9, 126.3, 126.2, 125.9, 125.5, 124.9, 123.5 (d, $J_{C\text{-}F}$ = 2.4 Hz), 123.3 (d, $J_{C\text{-}F}$ = 19.6 Hz), 109.8, 94.5 (d, $J_{C\text{-}F}$ = 199.0 Hz), 54.2 (d, $J_{C\text{-}F}$ = 27.6 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.6 (d, *J* = 9.1 Hz, minor diastereomer), -158.9 (d, *J* = 11.9 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{20}Cl_2FNO$: C, 71.32; H, 4.13; N, 2.87. Found: C, 71.43; H, 4.16; N, 2.96.



(*R*)-3-((*R*,*E*)-1,3-Bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh). Compound **3dh** was obtained as a colorless solid in 91% yield (92 mg, 0.18 mmol) from (*E*)-1,3-bis(3-nitrophenyl)allyl acetate (82 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2 days by following the general procedure described above. mp: 157-158 °C; R_f = 0.4 (hexanes/EtOAc, 1:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/*i*PrOH, 85:15, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 20.4 min, t_R (major) = 46.1 min; Minor diastereomer >99% ee, t_R (major) = 48.3 min, t_R (minor) = 42.4 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 8.30 (s, 1H), 8.18 – 8.11 (m, 2H), 7.78 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.72 (s, 1H), 7.57 – 7.52 (m, 2H), 7.50 – 7.41 (m, 3H), 7.41 – 7.29 (m, 4H), 7.12 (m, 1H), 6.96 (dd, *J* = 15.9, 7.5 Hz, 1H), 6.82 (d, *J* = 6.8 Hz, 1H), 6.77 – 6.61 (m, 2H), 4.65 (dd, *J* = 12.2, 7.4 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 170.8 (d, J_{C-F} = 21.0 Hz), 148.7, 148.0, 144.3 (d, J_{C-F} = 5.5 Hz), 138.0, 137.2 (d, J_{C-F} = 8.3 Hz), 136.2, 133.9, 132.4, 132.0 (d, J_{C-F} = 2.6 Hz), 129.8, 129.7, 129.5, 128.7, 126.7 (d, J_{C-F} = 1.5 Hz), 126.0, 125.9, 124.3 (d, J_{C-F} = 1.5 Hz), 124.0 (d, J_{C-F} = 2.4 Hz), 123.9, 123.1, 122.8, 121.2, 121.1, 110.2, 94.1 (d, J_{C-F} = 199.7 Hz), 54.1 (d, J_{C-F} = 28.1 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -156.3 (d, *J* = 9.1 Hz, minor diastereomer), -158.6 (d, *J* = 11.9 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{20}FN_3O_5$: C, 68.37; H, 3.96; N, 8.25. Found: C, 68.71; H, 3.71; N, 8.61.

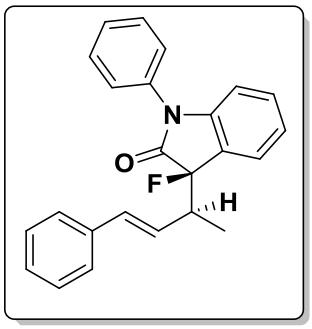


(*R*)-3-((*R*)-Cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di). Compound **3di** was obtained as a colorless liquid in 86% yield (53 mg, 0.17 mmol) from *tert*-butyl cyclohex-2-en-1-yl carbonate (48 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 4 days by following the general procedure described above. R_f = 0.6 (hexanes/EtOAc, 19:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 99/1, flow rate 0.4 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 22.0 min, t_R (major) = 30.3 min; Minor diastereomer >99% ee, t_R (major) = 25.9 min, t_R (minor) = 27.0 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.56 – 7.47 (m, 3H), 7.46 – 7.36 (m, 3H), 7.30 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.10 (dd, *J* = 7.6, 7.5 Hz, 1H), 6.80 (m, 1H), 6.03 (m, 2H), 3.26 (m, 1H), 2.06 (m, 1H), 1.99 (m, 1H), 1.75 (m, 1H), 1.62 (m, 1H), 1.51 (m, 1H), 0.96 (m, 1H); ^{13}C NMR (100 MHz, chloroform-*d*) δ = 172.1 (d, J_{C-F} = 21.1 Hz), 144.5 (d, J_{C-F} = 5.4 Hz), 133.7, 131.7, 130.8 (d, J_{C-F} = 2.9 Hz), 129.7, 128.4, 126.4, 126.0, 124.4 (d, J_{C-F} = 19.1 Hz), 123.4 (d, J_{C-F} = 2.0 Hz), 123.3, 109.6, 95.0 (d, J_{C-F} = 189.7 Hz), 41.5 (d, J_{C-F} = 23.8 Hz), 24.9, 22.8 (d, J_{C-F} = 6.7 Hz), 20.8 (d, J_{C-F} = 1.2 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -160.0 (d, *J* = 10.6 Hz, major diastereomer), -162.9 (d, *J* = 9.5 Hz, minor diastereomer); Anal. Calcd. for $C_{20}H_{18}FNO$: C, 78.15; H, 5.90; N, 4.56. Found: C, 78.42; H, 6.11; N, 4.71.



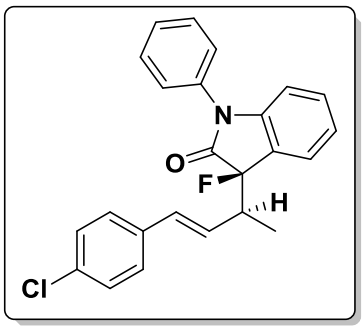
(*R*)-3-Fluoro-3-((*R,E*)-3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj). Compound **3dj** was obtained as a colorless oil in 97% yield (89 mg, 0.19 mmol) from (*E*)-1-(2-isopropylphenyl)-3-phenylallyl acetate (71 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure with **L3** as ligand as described above. R_f = 0.3 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (Lux Amylose-1, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer, 96% ee, t_R (major) = 16.1 min, t_R (minor) = 31.9 min; Minor diastereomer, 95% ee, t_R (minor) = 14.0

min, t_R (major) = 24.5 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.63 (d, *J* = 7.5 Hz, 1H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.42 – 7.34 (m, 3H), 7.34 – 7.27 (m, 5H), 7.25 – 7.20 (m, 2H), 7.18 – 7.10 (m, 4H), 6.93 (d, *J* = 7.2 Hz, 1H), 6.74 (d, *J* = 7.2 Hz, 1H), 6.64 (dd, *J* = 12.1, 7.9 Hz, 1H), 6.51 (d, *J* = 7.9 Hz, 1H), 4.55 (dd, *J* = 12.1, 7.9 Hz, 1H), 3.23 (m, 1H), 1.26 (d, *J* = 10.8 Hz, 3H), 1.24 (d, *J* = 10.8 Hz, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.7 (d, J_{C-F} = 21.2 Hz), 146.1, 144.7 (d, J_{C-F} = 5.5 Hz), 135.5, 135.5, 135.4, 133.5, 133.2, 131.1 (d, J_{C-F} = 2.5 Hz), 129.6, 129.5, 128.4, 128.2, 128.0, 127.7, 126.4, 126.4, 126.0, 125.9, 125.0, 123.9 (d, J_{C-F} = 19.7 Hz), 123.2 (d, J_{C-F} = 2.4 Hz), 109.6, 95.0 (d, J_{C-F} = 198.4 Hz), 54.9 (d, J_{C-F} = 27.0 Hz), 29.3, 23.4, 23.3; ^{19}F NMR (376 MHz, chloroform-*d*) δ = -158.4 (d, *J* = 8.3 Hz, minor diastereomer), -158.8 (d, *J* = 12.0 Hz, major diastereomer); Anal. Calcd. for $C_{32}H_{28}FNO$: C, 83.27; H, 6.11; N, 3.03. Found: C, 83.51; H, 6.19; N, 3.26.

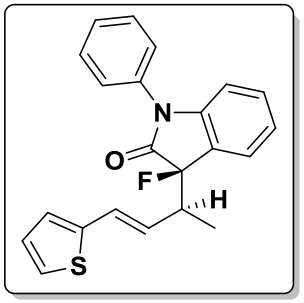


(*R*)-3-Fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk). Compound **3dk** was obtained as a colorless oil in 99% yield (70 mg, 0.19 mmol) from (*E*)-4-phenylbut-3-en-2-yl acetate (46 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure with **L3** as ligand as described above. R_f = 0.4 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (Lux Amylose-1, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer, 95% ee, t_R (minor) = 22.0 min, t_R (major) = 23.0 min; Minor diastereomer, 96% ee, t_R (minor) = 16.1 min, t_R (major) = 25.1 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.50 (dd, *J* = 7.7, 7.6 Hz, 2H), 7.45 – 7.36 (m, 4H), 7.34 (d, *J* = 7.3 Hz, 2H), 7.32 – 7.24 (m, 2H), 7.24 – 7.13 (m, 2H), 7.08 (dd, *J* = 7.7, 7.6 Hz, 1H), 6.78 (d, *J* = 7.7 Hz, 1H), 6.53 (d, *J* = 16.1 Hz, 1H), 6.42 (dd, *J* = 16.1, 6.8 Hz, 1H), 3.43 (m, 1H), 1.10 (d, *J* = 6.9 Hz, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.0 (d, J_{C-F} = 21.5 Hz), 144.4 (d, J_{C-F} = 5.3 Hz), 137.0, 133.6, 133.2 (d, J_{C-F} = 1.0 Hz), 130.9 (d, J_{C-F} = 2.8 Hz), 129.7, 128.6, 128.4, 127.6, 126.6 (d, J_{C-F} = 3.8 Hz), 126.5, 126.3, 125.8, 124.1 (d, J_{C-F} = 19.3 Hz), 123.4 (d, J_{C-}

$_F$ = 2.5 Hz), 109.7, 95.0 (d, J_{C-F} = 192.0 Hz), 41.8 (d, J_{C-F} = 25.3 Hz), 12.9 (d, J_{C-F} = 6.1 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -157.4 (d, *J* = 8.8 Hz, major diastereomer), -162.1 (d, *J* = 7.5 Hz, minor diastereomer); Anal. Calcd. for $C_{24}H_{20}FNO$: C, 80.65; H, 5.64; N, 3.92. Found: C, 80.48; H, 5.61; N, 3.87.

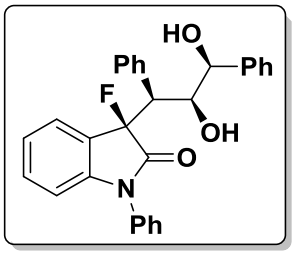


(*R*)-3-((*S*,*E*)-4-(4-Chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one (3dl). Compound **3dl** was obtained as a colorless solid in 98% yield (77 mg, 0.19 mmol) from (*E*)-4-(4-chlorophenyl)but-3-en-2-yl acetate (54 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure with **L3** as ligand as described above. mp: 127-128 °C; R_f = 0.3 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (Lux Amylose-1, hexanes/*i*PrOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer, 93% ee, t_R (minor) = 23.9 min, t_R (major) = 31.6 min; Minor diastereomer, 94% ee, t_R (major) = 39.1 min, t_R (minor) = 39.6 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.52 (dd, *J* = 7.9, 7.8 Hz, 2H), 7.46 – 7.39 (m, 2H), 7.39 – 7.34 (m, 3H), 7.33 – 7.27 (m, 4H), 7.09 (dd, *J* = 7.9, 7.7 Hz, 1H), 6.80 (d, *J* = 7.8 Hz, 1H), 6.49 (d, *J* = 16.2 Hz, 1H), 6.40 (dd, *J* = 16.2, 6.5 Hz, 1H), 3.39 (m, 1H), 1.09 (d, *J* = 6.6 Hz, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.0 (d, J_{C-F} = 21.5 Hz), 144.5 (d, J_{C-F} = 5.3 Hz), 135.5, 133.6, 133.3, 132.1 (d, J_{C-F} = 0.9 Hz), 131.0 (d, J_{C-F} = 2.8 Hz), 129.8, 128.8, 128.5, 127.5, 127.4 (d, J_{C-F} = 3.9 Hz), 126.3, 125.7, 124.0 (d, J_{C-F} = 19.2 Hz), 123.4 (d, J_{C-F} = 2.6 Hz), 109.8 (d, J_{C-F} = 3.1 Hz), 94.9 (d, J_{C-F} = 192.3 Hz), 41.8 (d, J_{C-F} = 25.3 Hz), 12.9 (d, J_{C-F} = 6.1 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -157.5 (d, *J* = 9.0 Hz, major diastereomer), -161.9 (d, *J* = 7.5 Hz, minor diastereomer); Anal. Calcd. for $C_{24}H_{19}ClFNO$: C, 73.56; H, 4.89; N, 3.57. Found: C, 73.41; H, 4.97; N, 3.69.



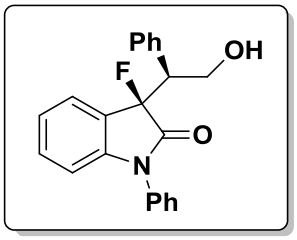
(*R*)-3-Fluoro-1-phenyl-3-((*S*,*E*)-4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm). Compound **3dm** was obtained as a colorless solid in 96% yield (70 mg, 0.19 mmol) from (*E*)-4-(thiophen-2-yl)but-3-en-2-yl acetate (47 mg, 0.24 mmol) and 3-fluoro-1-phenylindolin-2-one (45 mg, 0.2 mmol) after 2.5 days by following the general procedure with **L3** as ligand as described above. mp: 117-119 °C; R_f = 0.4 (hexanes/EtOAc, 9:1); The ee's were determined by HPLC (Lux Amylose-1, hexanes/EtOH, 98:2, flow rate 0.5 mL/min, λ = 254 nm): Major diastereomer, 95% ee, t_R (minor) = 30.2 min, t_R (major) = 34.6 min; Minor diastereomer, 94% ee, t_R (minor) = 22.1 min, t_R (major) = 37.3 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.52 (dd, *J* = 7.8, 7.6 Hz, 2H), 7.46 – 7.40 (m, 2H), 7.40 – 7.35 (m, 2H), 7.30 (dd, *J* = 7.8, 7.6 Hz, 1H), 7.17 (d, *J* = 7.8 Hz, 1H), 7.10 (dd, *J* = 7.8, 7.6 Hz, 1H), 7.00 – 6.94 (m, 2H), 6.79 (d, *J* = 7.9 Hz, 1H), 6.66 (d, *J* = 15.9 Hz, 1H), 6.24 (dd, *J* = 15.9, 6.9 Hz, 1H), 3.36 (m, 1H), 1.07 (d, *J* = 6.9 Hz, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.0 (d, J_{C-F} = 21.6 Hz), 144.5 (d, J_{C-F} = 5.4 Hz), 142.2, 133.6, 130.9 (d, J_{C-F} = 2.7 Hz), 129.7, 128.5, 127.4, 126.6, 126.5, 126.4, 126.4, 125.8, 125.7, 124.2, 123.5 (d, J_{C-F} = 2.5 Hz), 109.8, 94.9 (d, J_{C-F} = 192.3 Hz), 41.8 (d, J_{C-F} = 25.5 Hz), 12.9 (d, J_{C-F} = 6.1 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -157.3 (d, *J* = 9.1 Hz, major diastereomer), -160.2 (d, *J* = 8.5 Hz, minor diastereomer); Anal. Calcd. for $C_{22}H_{18}FNOS$: C, 72.70; H, 4.99; N, 3.85. Found: C, 72.51; H, 5.13; N, 3.96.

3.3. Product Derivatizations



(*R*)-3-((1*S*,2*S*,3*S*)-2,3-dihydroxy-1,3-diphenylpropyl)-3-fluoro-1-phenylindolin-2-one (4a).

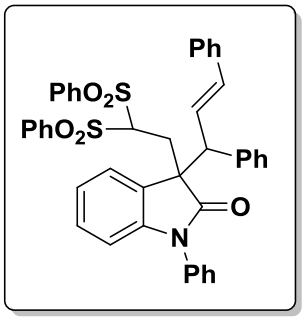
A solution of AD-mix-α (180.0 mg), methanesulfonamide (13.6 mg, 0.14 mmol) and (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (60 mg, 0.14 mmol) was vigorously stirred in 4 ml of a 1:1 water/^{t}BuOH mixture at 0 ^{o}C for 48 hours. Excess Na_2SO_3 was added and stirring was continued for an additional hour. The reaction mixture was quenched with CH_2Cl_2, washed with water and dried over Na_2SO_4 and the solvent was removed *in vacuo*. The crude product was purified by flash chromatography on silica gel using hexanes-ethyl acetate (1:1) as mobile phase. Compound **4a** was obtained as a colorless solid in 92% yield (59 mg, 0.13 mmol). mp: 159-160 °C; R_f = 0.4 (hexanes/EtOAc, 1:1); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.61 (d, *J* = 7.6 Hz, 1H), 7.43 – 7.31 (m, 6H), 7.31 – 7.23 (m, 5H), 7.21 – 7.17 (m, 2H), 7.15 – 7.05 (m, 3H), 6.89 (dd, *J* = 7.5, 1.6 Hz, 1H), 6.53 (d, *J* = 7.6 Hz, 1H), 4.82 (m, 1H), 4.47 (d, *J* = 7.4 Hz, 1H), 3.36 (dd, *J* = 12.9, 3.0 Hz, 1H), 3.12 (bs, 1H), 2.50 (bs, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 172.0 (d, $J_{C\text{-}F}$ = 21.2 Hz), 144.5 (d, $J_{C\text{-}F}$ = 5.6 Hz), 140.2, 133.3, 132.9 (d, $J_{C\text{-}F}$ = 6.7 Hz), 131.9, 130.9 (d, $J_{C\text{-}F}$ = 2.7 Hz), 129.5, 128.7, 128.6, 128.4, 128.0, 127.9, 127.7, 127.3, 126.4, 124.3 (d, $J_{C\text{-}F}$ = 19.0 Hz), 123.0 (d, $J_{C\text{-}F}$ = 2.6 Hz), 109.3, 95.1 (d, $J_{C\text{-}F}$ = 196.7 Hz), 76.1 (d, $J_{C\text{-}F}$ = 1.5 Hz), 73.7 (d, $J_{C\text{-}F}$ = 1.8 Hz), 52.0 (d, $J_{C\text{-}F}$ = 24.9 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -157.5 (d, *J* = 6.5 Hz, minor diastereomer), -161.0 (d, *J* = 13.0 Hz, major diastereomer); Anal. Calcd. for $C_{29}H_{24}FNO_3$: C, 76.80; H, 5.33; N, 3.09. Found: C, 76.49; H, 5.41; N, 3.13.



(*R*)-3-Fluoro-3-((*S*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5). Ozone was bubbled through a solution of (*R*)-3-((*R*,*E*)-1,3-Bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (**3da**) (125 mg, 0.3 mmol) in 3 mL of MeOH at −78 °C. Completion of the reaction was ascertained by TLC and ^{19}F NMR analysis after 3 hours, the excess ozone was removed by bubbling nitrogen through the solution. PPh_3 (394 mg, 1.5 mmol)) was added and the reaction was stirred at -78 °C for 30 min. $NaBH_4$ (45 mg, 1.2 mmol) was added to the reaction mixture and stirred at -78 °C for 2 hours and the reaction temperature was allowed to reach 0 °C and it was quenched by 1M HCl. Solvent was removed and the crude mixture was extracted with ethylacetate. The organic phase was washed with brine, dried over anhydrous sodium sulfate and the solvent was removed *in vacuo*. The crude product was purified by flash chromatography on silica gel using hexanes-ethyl acetate (1:1) as mobile phase. Compound **5** was obtained as a colorless solid in 95% yield (99 mg, 0.28 mmol). mp: 138-139 °C; R_f = 0.4 (hexanes/EtOAc, 1:1); The ee's were determined by HPLC (CHIRALPAK IA, hexanes/EtOH, 90:10, flow rate 1.0 mL/min, λ = 254 nm): Major diastereomer >99% ee, t_R (minor) = 14.9 min, t_R (major) = 35.0 min; Minor diastereomer >99% ee, t_R (major) = 14.1 min, t_R (minor) = 22.9 min; ^{1}H NMR (400 MHz, chloroform-*d*): δ = 7.44 – 7.32 (m, 3H), 7.31 – 7.23 (m, 3H), 7.19 (dd, *J* = 7.5, 7.5 Hz, 1H), 7.10 (dd, *J* = 7.6, 7.5 Hz, 1H), 6.97 (dd, *J* = 7.5, 1.7 Hz, 2H), 6.87 (dd, *J* = 7.6, 1.5 Hz, 2H), 6.55 (dd, *J* = 7.6, 1.4 Hz, 1H), 4.65 (dd, *J* = 11.2, 7.6 Hz, 1H), 4.24 (dd, *J* = 11.3, 7.8 Hz, 1H), 3.78 (ddd, *J* = 14.6, 7.8, 7.6 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 171.9 (d, J_{C-F} = 21.0 Hz), 144.4 (d, J_{C-F} = 5.7 Hz), 134.4 (d, J_{C-F} = 7.4 Hz), 133.1, 131.2 (d, J_{C-F} = 2.7 Hz), 129.7, 129.6 (d, J_{C-F} = 1.2 Hz), 128.6, 128.5, 128.2, 126.4, 126.2, 123.7 (d, J_{C-F} = 19.3 Hz), 123.3 (d, J_{C-F} = 2.5 Hz), 109.8, 95.3 (d, J_{C-F} = 196.7 Hz), 61.9 (d, J_{C-F} = 2.5 Hz), 53.7 (d, J_{C-F} = 25.2 Hz); ^{19}F NMR (376 MHz, chloroform-*d*) δ = -158.8 (d, *J* = 6.9 Hz, minor diastereomer), -163.7 (d, *J* = 15.0 Hz, major diastereomer); Anal. Calcd. for $C_{22}H_{18}FNO_2$: C, 76.07; H, 5.22; N, 4.03. Found: C, 75.77; H, 5.33; N, 4.04.

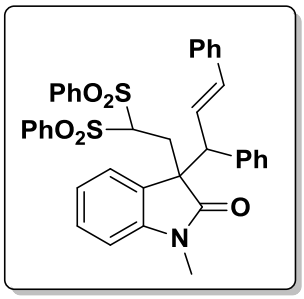
C-F Functionalization

$YbI_3(THF)_3$ (1.1 equiv) was added to a mixture of (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (1 equiv) and (ethene-1,1-diyldisulfonyl)dibenzene (1 equiv) in dry DCM. The resulting mixture was stirred at room temperature under N_2 atmosphere for 16-18 hours. Completion of the reaction was ascertained by TLC as well as by ^{19}F NMR for the disappearance of fluorine from allylic alkylation product. The crude product was purified by flash chromatography on silica gel using hexanes-ethyl acetate as mobile phase as described below.



(*E*)-3-(2,2-Bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-phenylindolin-2-one (7a).

Compound **7a** was obtained as a colorless solid in 97% yield (81 mg, 0.11 mmol) from (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (50 mg, 0.12 mmol) and (ethene-1,1-diyldisulfonyl)dibenzene (37 mg, 0.12 mmol) after 16 hours at 25 ºC by following the general procedure described above. mp: 118-119 °C; R_f = 0.4 (hexanes/EtOAc, 1:1); ^1H NMR (400 MHz, chloroform-*d*): δ = 8.08 (d, *J* = 7.6 Hz, 2H), 7.7 (d, *J* = 8.0 Hz, 2H), 7.69 (dd, *J* = 7.6, 7.5 Hz, 1H), 7.61 – 7.50 (m, 3H), 7.46 (d, *J* = 7.4 Hz, 1H), 7.42 – 7.28 (m, 10H), 7.22 – 7.15 (m, 2H), 7.13 – 7.05 (m, 3H), 6.83 – 6.67 (m, 5H), 6.56 (m, 1H), 6.50 (d, *J* = 7.8 Hz, 1H), 4.97 (dd, *J* = 7.0, 2.9 Hz, 1H), 3.91 (d, *J* = 10.2 Hz, 1H), 3.18 (dd, *J* = 16.5, 3.0 Hz, 1H), 2.98 (dd, *J* = 16.5, 7.0 Hz, 1H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 176.4, 145.6, 138.0, 136.8, 135.7, 134.7, 134.3, 133.9, 131.1, 129.4, 129.4, 129.0, 128.8, 128.7, 128.6, 128.2, 128.0, 127.9, 127.2, 127.0, 126.6, 126.4, 124.6, 122.4, 109.9, 79.8, 56.8, 55.6, 28.3; Anal. Calcd. for $C_{43}H_{35}NO_5S_2$: C, 72.76; H, 4.97; N, 1.97. Found: C, 72.52; H, 4.91; N, 1.96.

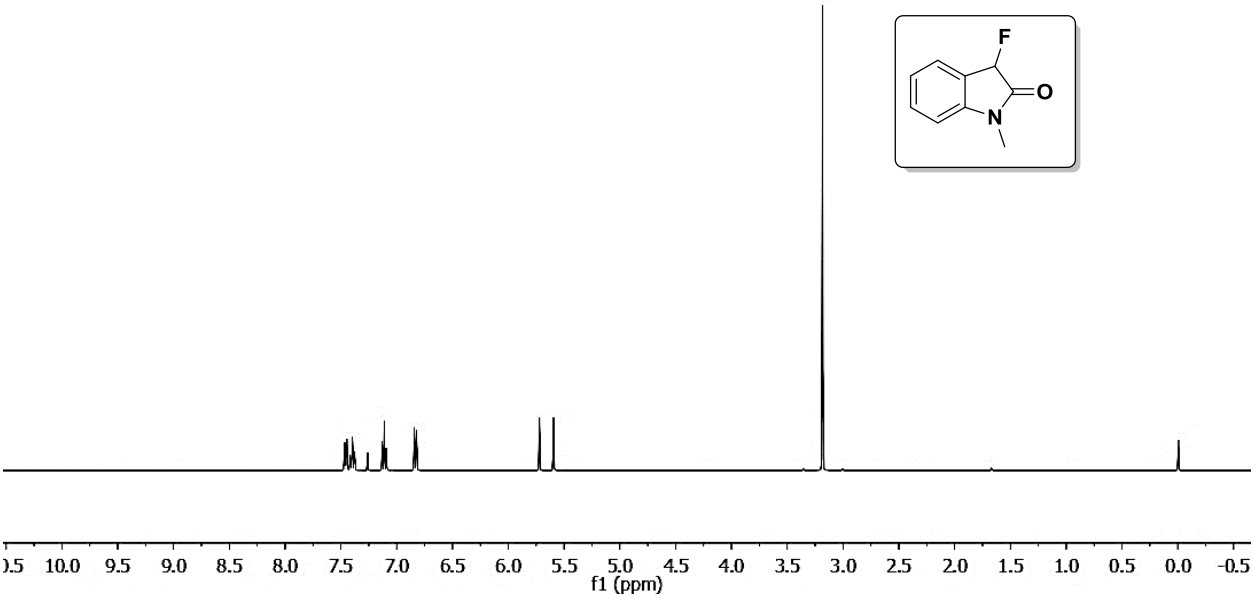


(*E*)-3-(2,2-Bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-methylindolin-2-one (7b).

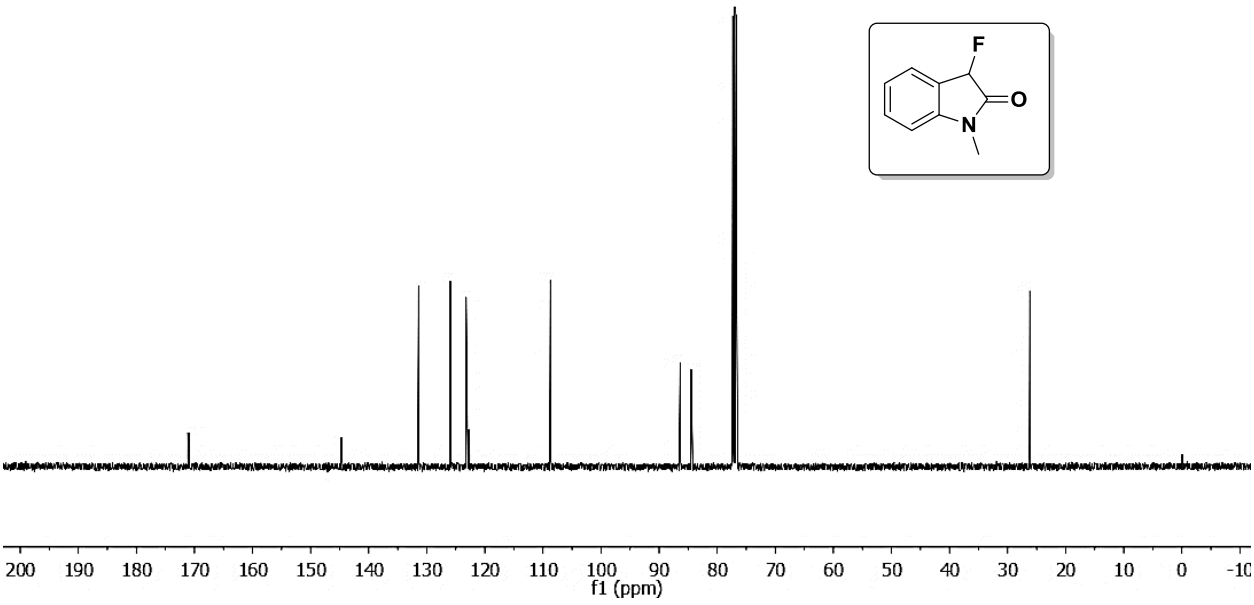
Compound **7b** was obtained as a colorless solid in 98% yield (31 mg, 0.05 mmol) from (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (20 mg, 0.05 mmol) and (ethene-1,1-diyldisulfonyl)dibenzene (17 mg, 0.05 mmol) after 18 hours at 25 ºC by following the general procedure described above. mp: 97-98 °C; R_f = 0.3 (hexanes/EtOAc, 1:1); ^{1}H NMR (400 MHz, chloroform-*d*): δ = 8.03 (dd, *J* = 7.6, 1.4 Hz, 2H), 7.96 (dd, *J* = 7.5, 1.4 Hz, 2H), 7.80 (dd, *J* = 7.5, 1.3 Hz, 2H), 7.74 – 7.64 (m, 2H), 7.64 – 7.48 (m, 5H), 7.43 (dd, *J* = 7.4, 1.4 Hz, 2H), 7.40 – 7.27 (m, 3H), 7.13 (m, 1H), 7.09 – 6.97 (m, 3H), 6.75 – 6.61 (m, 3H), 6.51 (m, 1H), 4.82 (dd, *J* = 6.7, 3.0 Hz, 1H), 3.85 (d, *J* = 10.2 Hz, 1H), 3.06 (dd, *J* = 16.4, 3.1 Hz, 1H), 2.88 (dd, *J* = 16.5, 6.8 Hz, 1H), 2.74 (s, 3H); ^{13}C NMR (100 MHz, chloroform-*d*): δ = 177.0, 145.2, 138.0, 135.4, 134.6, 134.4, 134.2, 130.9, 129.5, 129.1, 129.0, 128.9, 128.8, 128.7, 128.2, 127.6, 127.1, 126.6, 124.6, 122.0, 108.7, 79.9, 56.3, 55.4, 28.5, 25.7; Anal. Calcd. for $C_{38}H_{33}NO_5S_2$: C, 70.46; H, 5.13; N, 2.16. Found: C, 70.11; H, 5.19; N, 2.18.

4. NMR Spectra

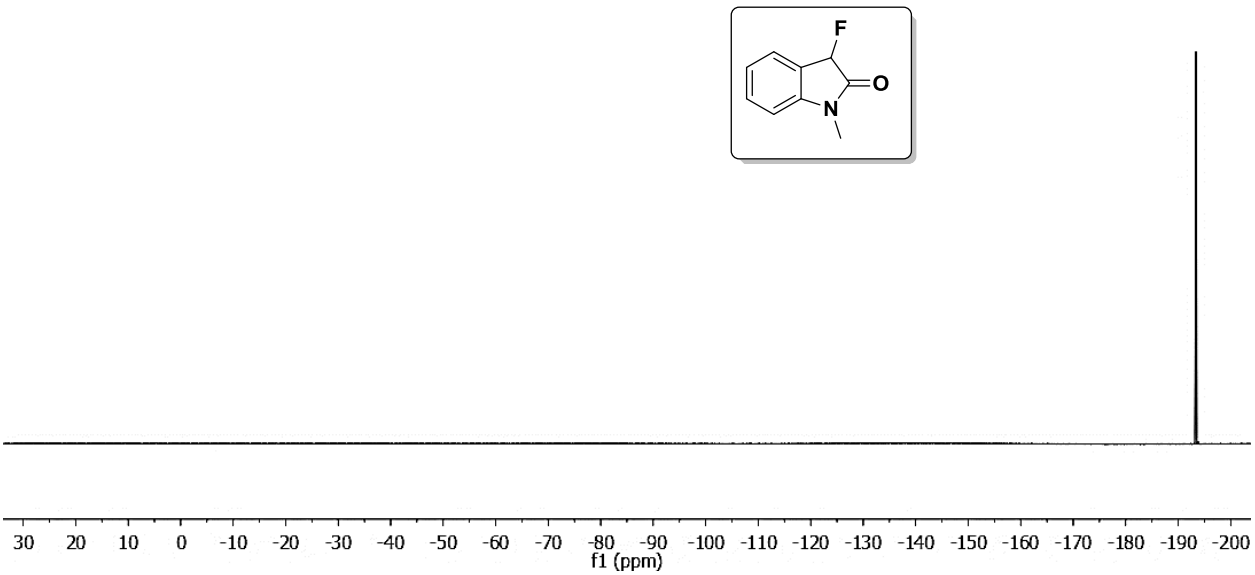
^{1}H NMR spectrum of 3-fluoro-1-methylindolin-2-one (1a).



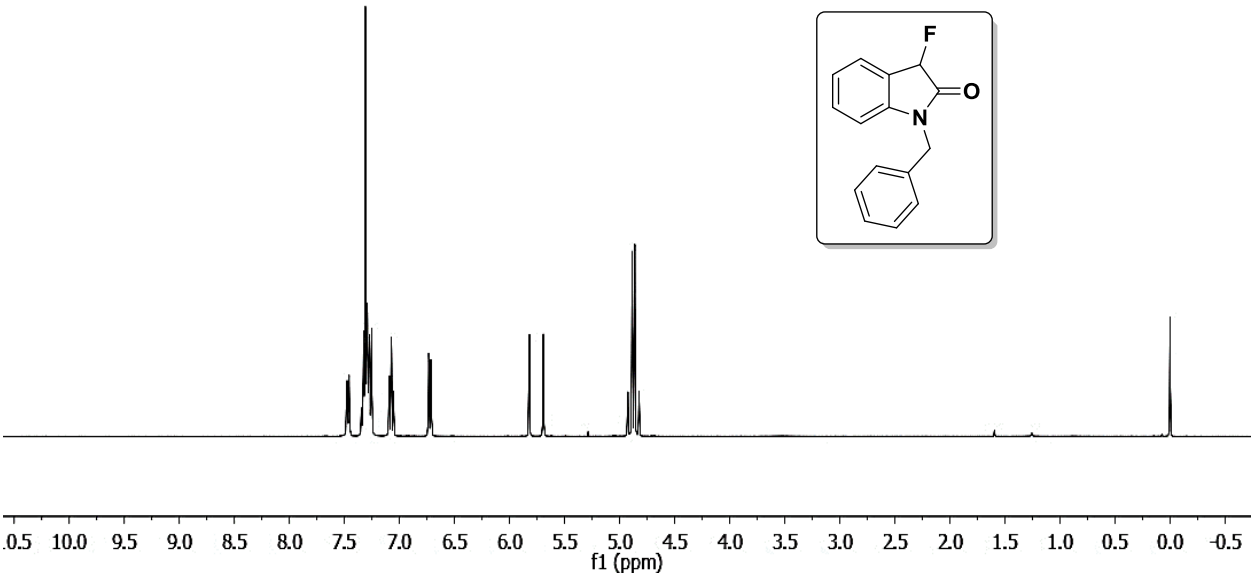
^{13}C NMR spectrum of 3-fluoro-1-methylindolin-2-one (1a).



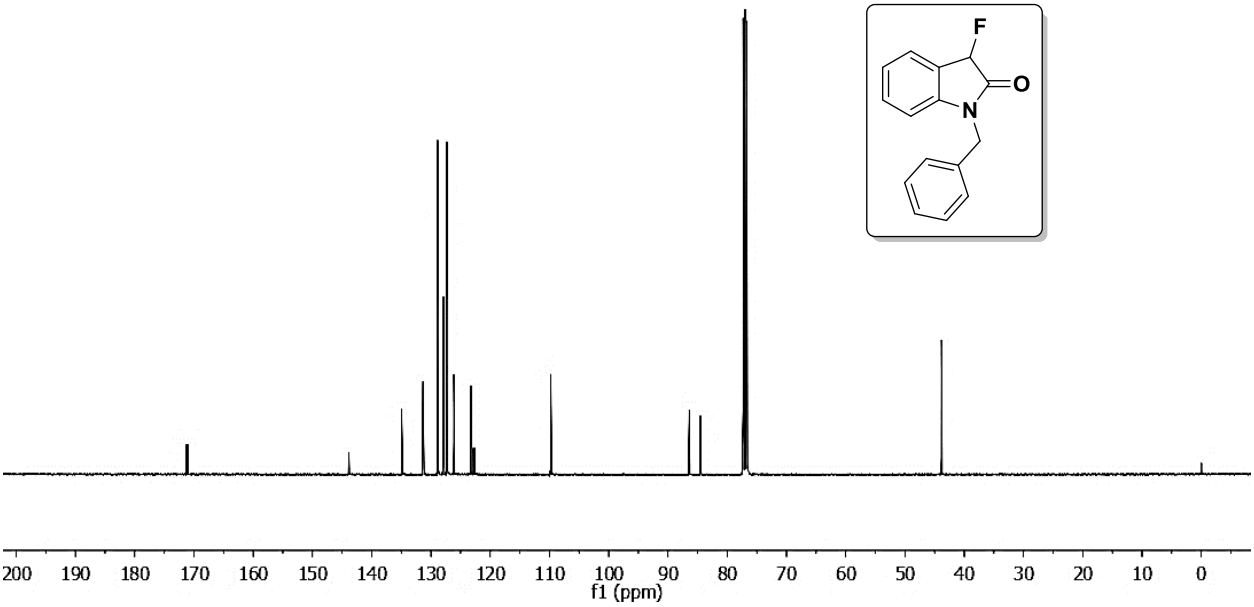
^{19}F NMR spectrum of 3-fluoro-1-methylindolin-2-one (1a).



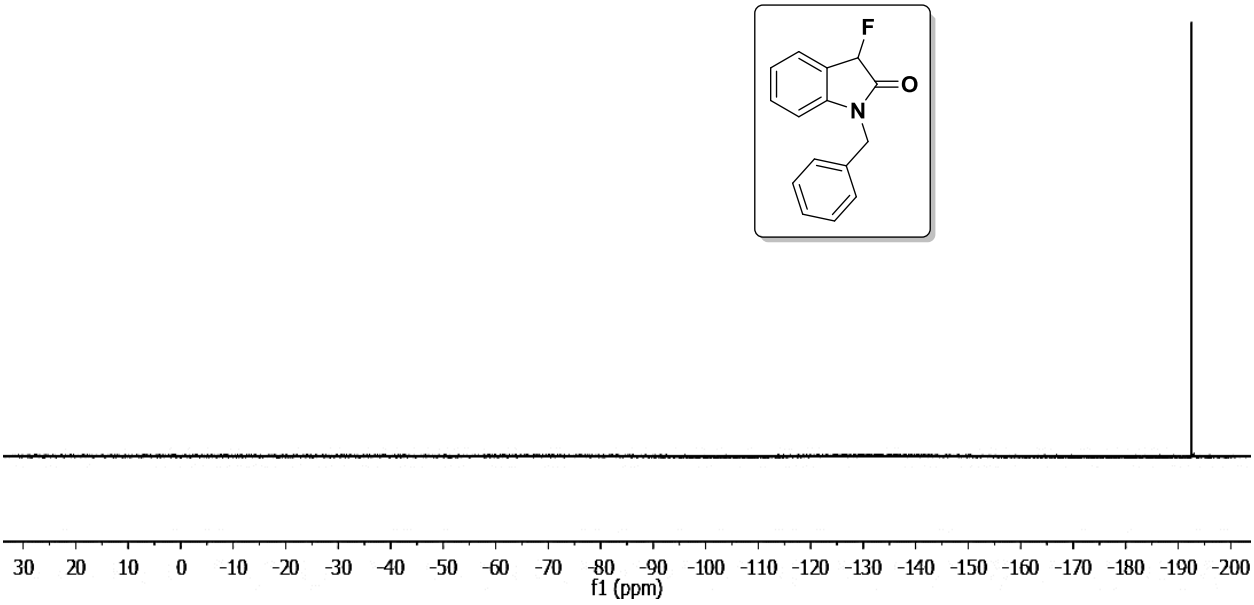
^{1}H NMR spectrum of 1-benzyl-3-fluoroindolin-2-one (1b).



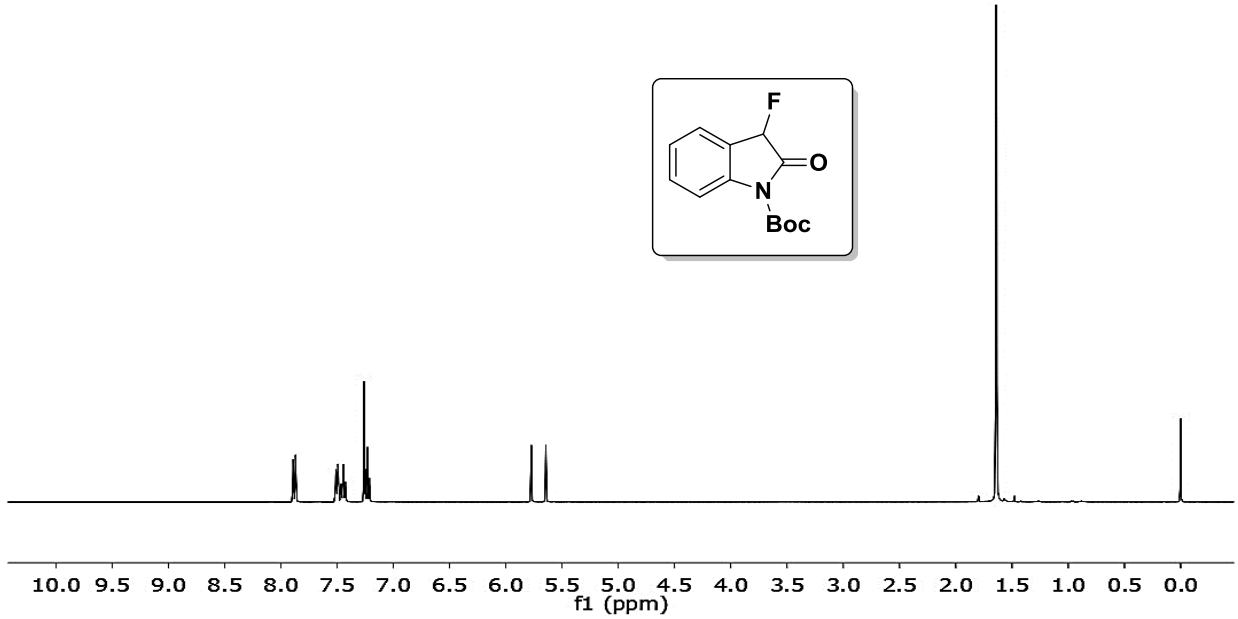
^{13}C NMR spectrum of 1-benzyl-3-fluoroindolin-2-one (1b).



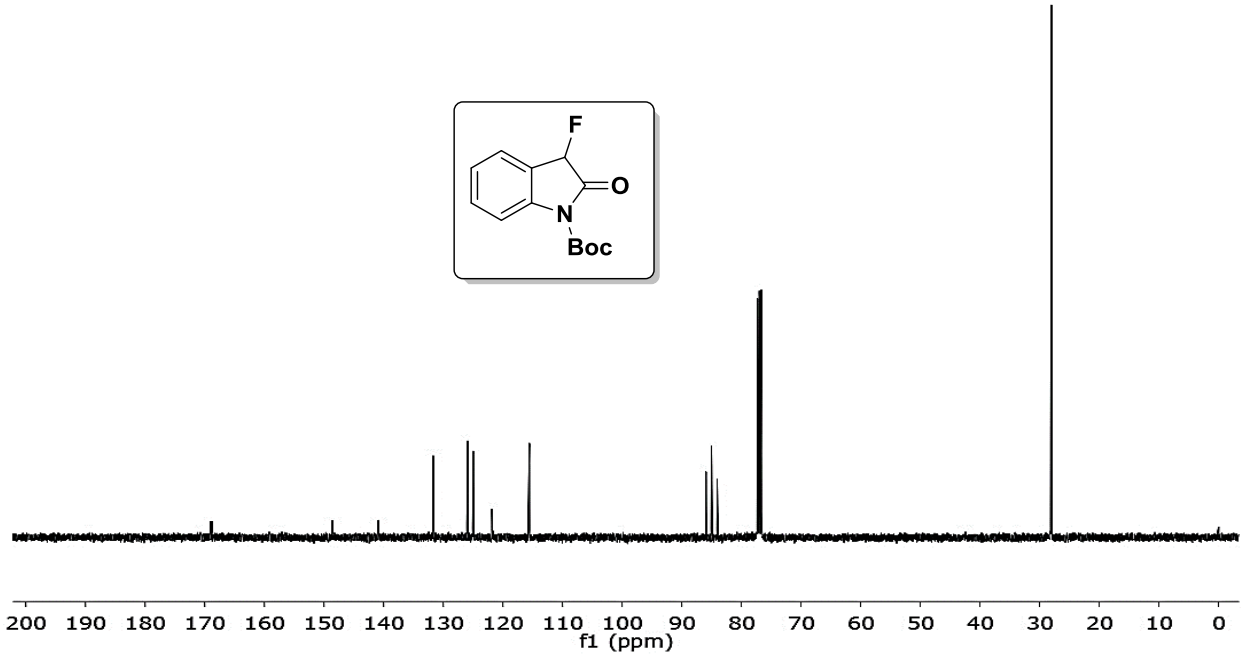
^{19}F NMR spectrum of 1-benzyl-3-fluoroindolin-2-one (1b).



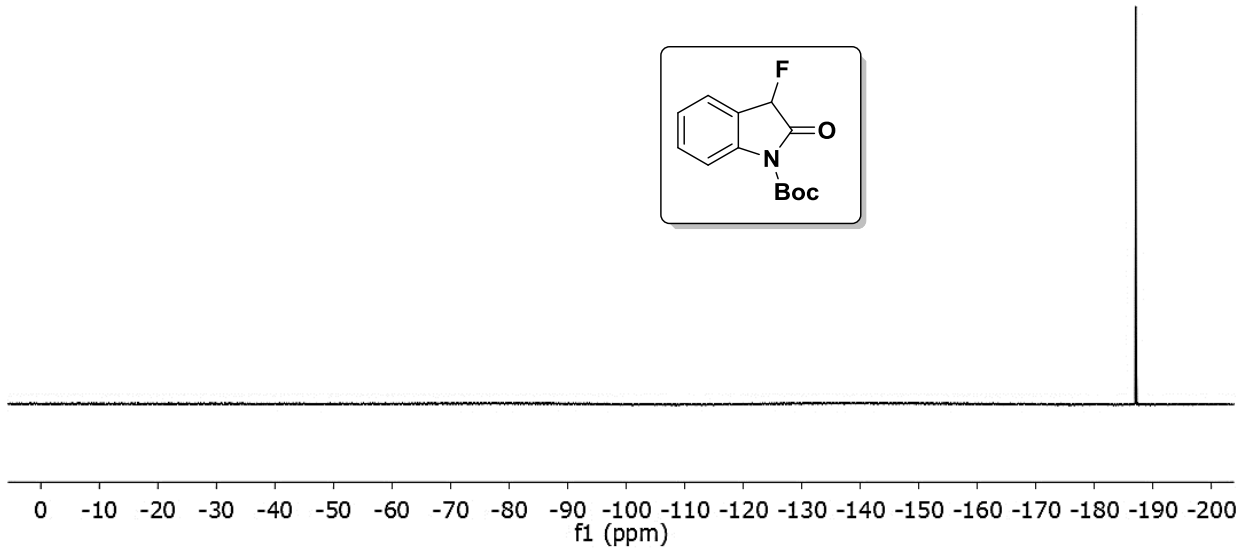
^{1}H NMR spectrum of *N*-^{t}Boc-3-fluoro-2-oxoindoline (1c).



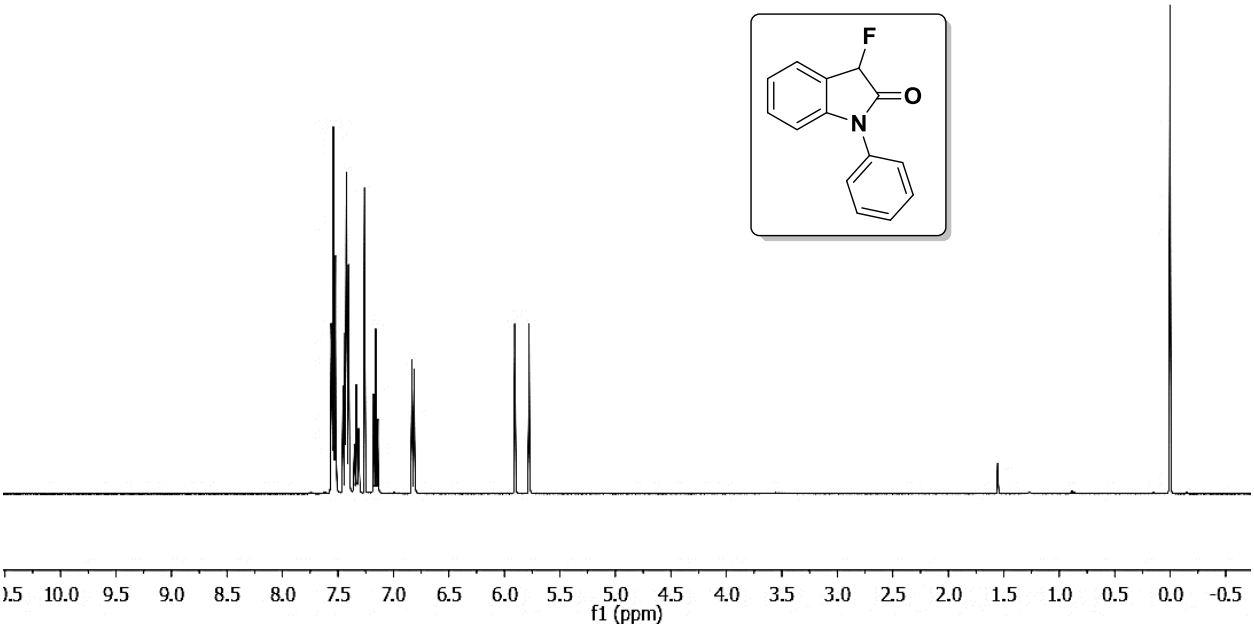
^{13}C NMR spectrum of *N*-^{t}Boc-3-fluoro-2-oxoindoline (1c).



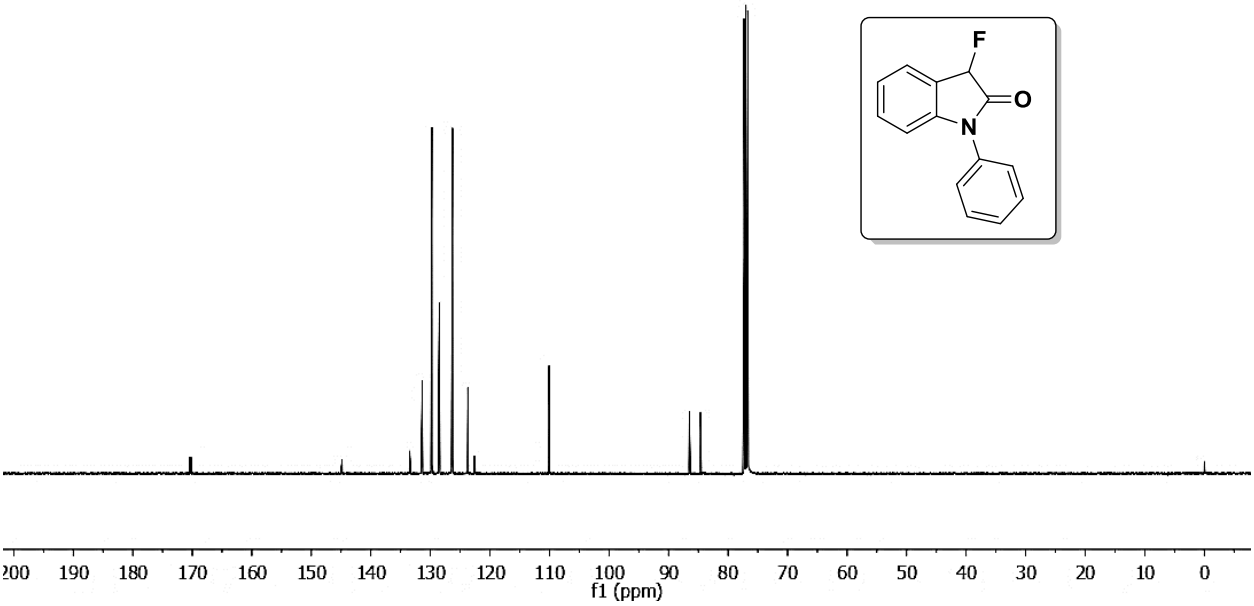
^{19}F NMR spectrum of *N*-^{t}Boc-3-fluoro-2-oxoindoline (1c).



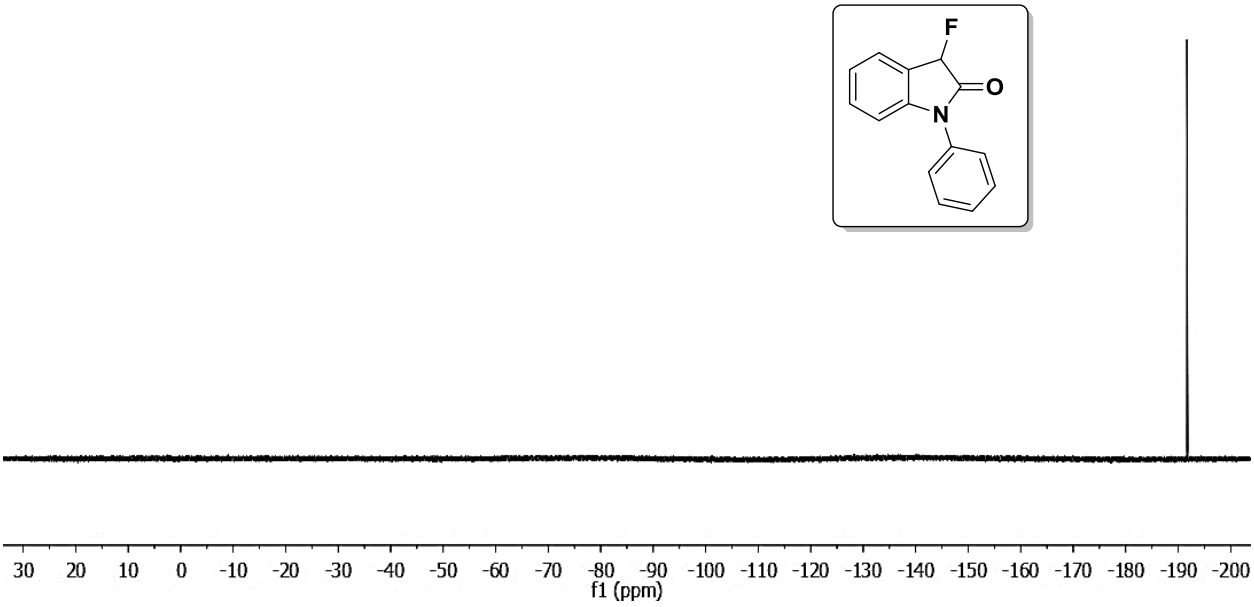
^{1}H NMR spectrum of 3-fluoro-1-phenylindolin-2-one (1d).



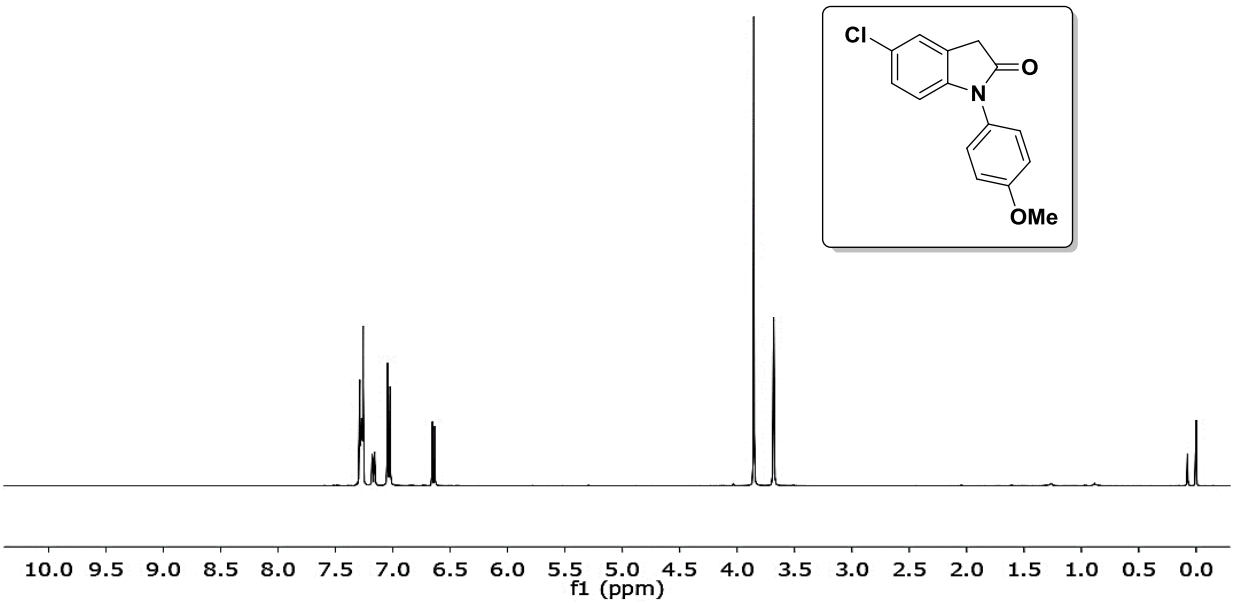
^{13}C NMR spectrum of 3-fluoro-1-phenylindolin-2-one (1d).



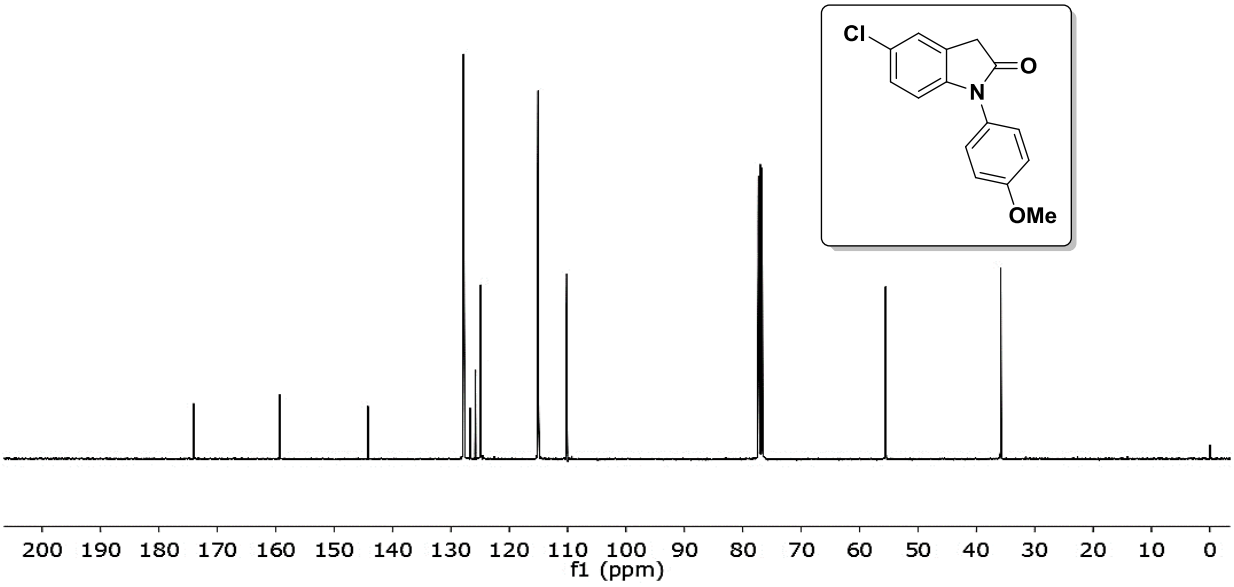
^{19}F NMR spectrum of 3-fluoro-1-phenylindolin-2-one (1d).



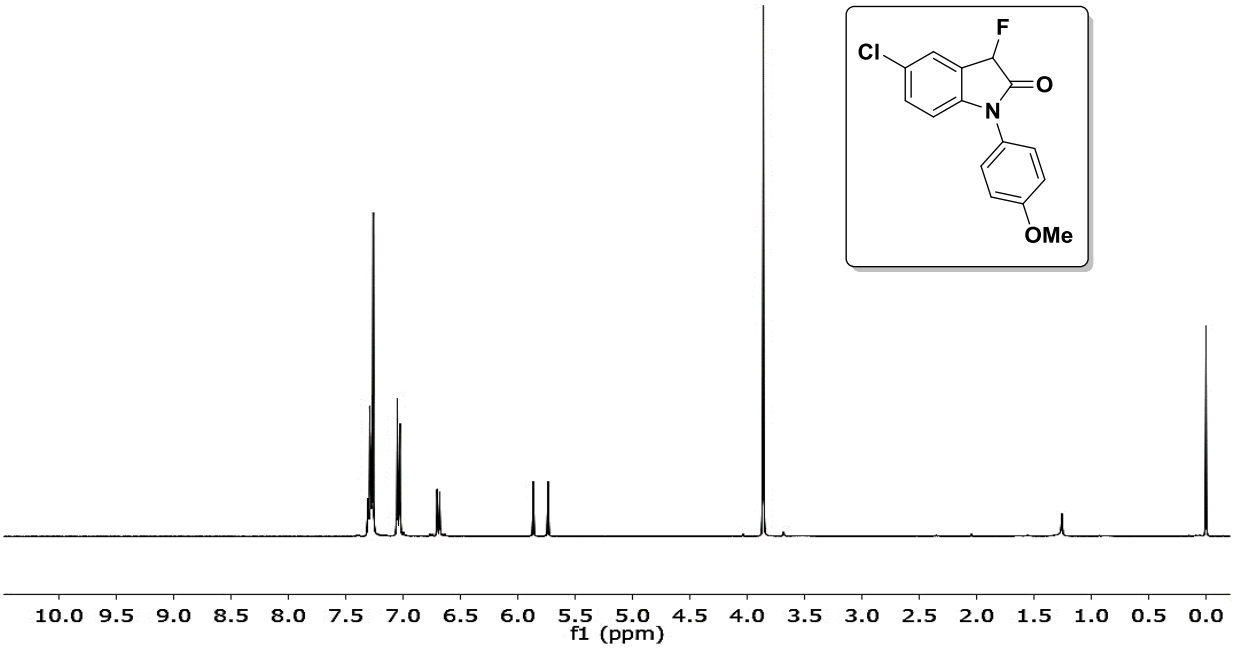
^{1}H NMR spectrum of 5-chloro-1-(4-methoxyphenyl)indolin-2-one (8e).



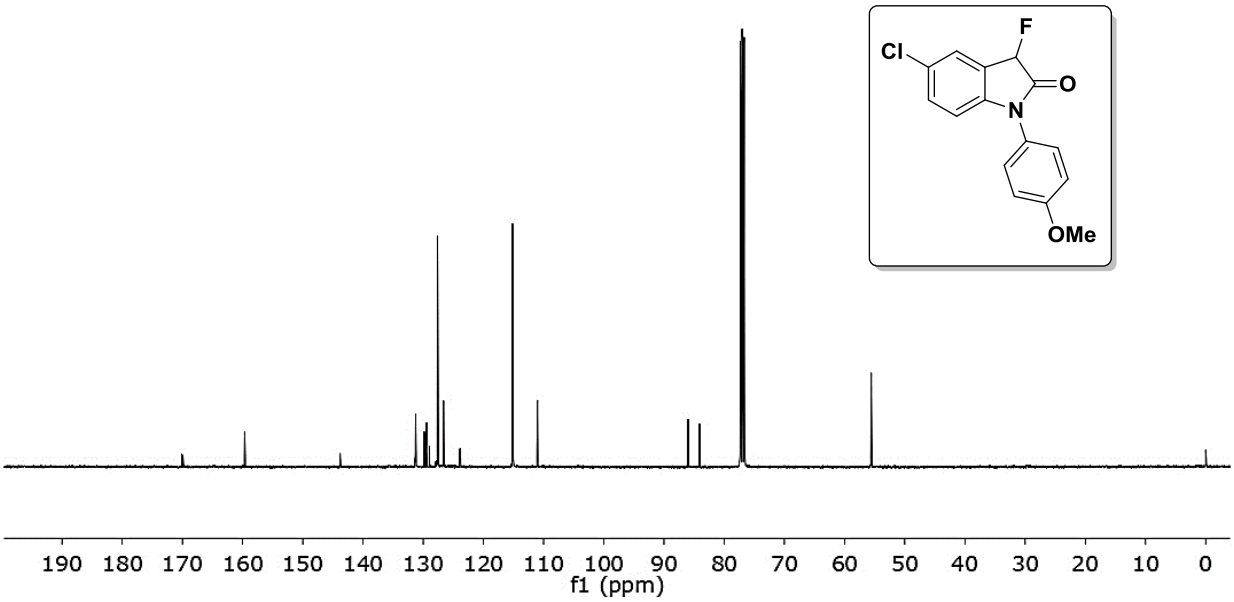
^{13}C NMR spectrum of 5-chloro-1-(4-methoxyphenyl)indolin-2-one (8e).



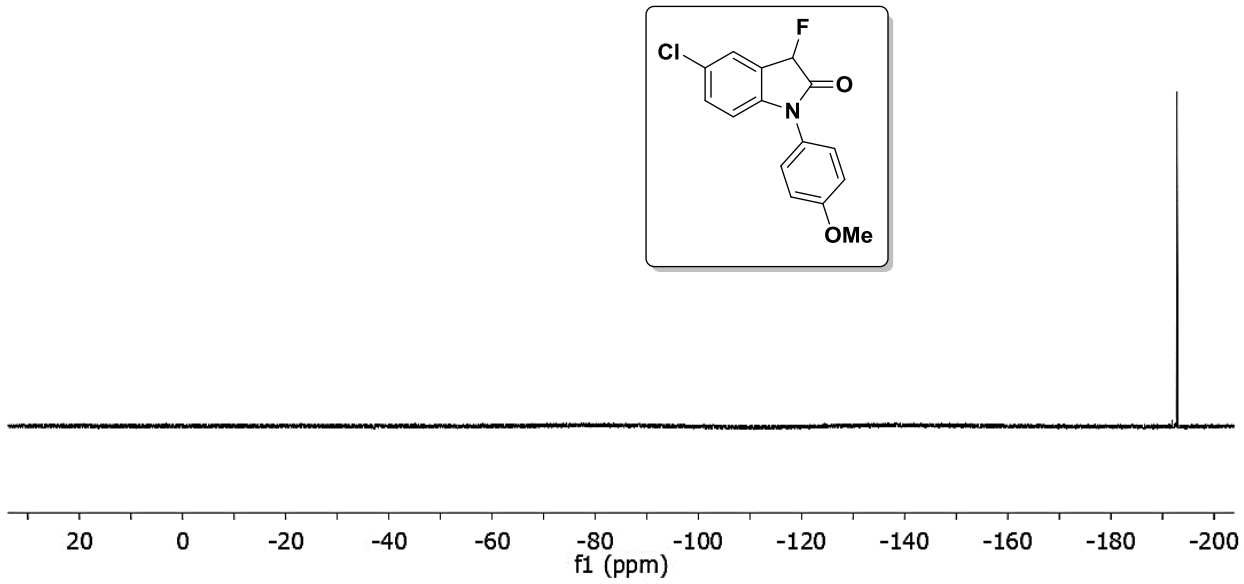
^{1}H NMR spectrum of 5-chloro-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (1e).



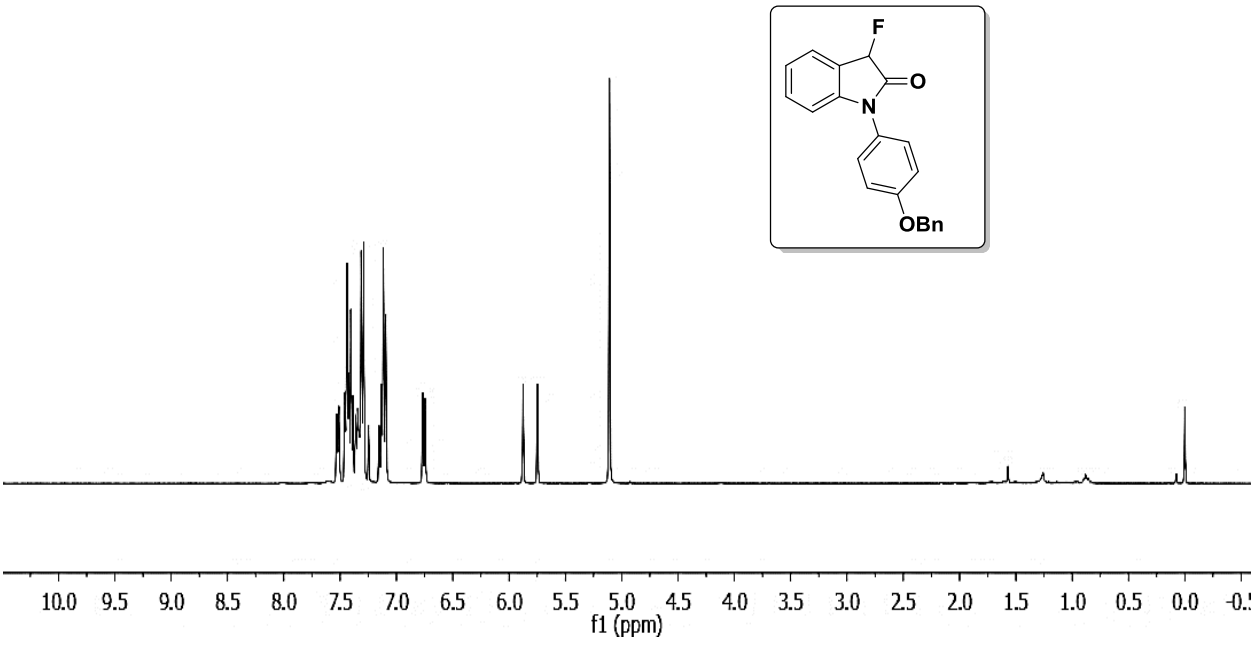
^{13}C NMR spectrum of 5-chloro-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (1e).



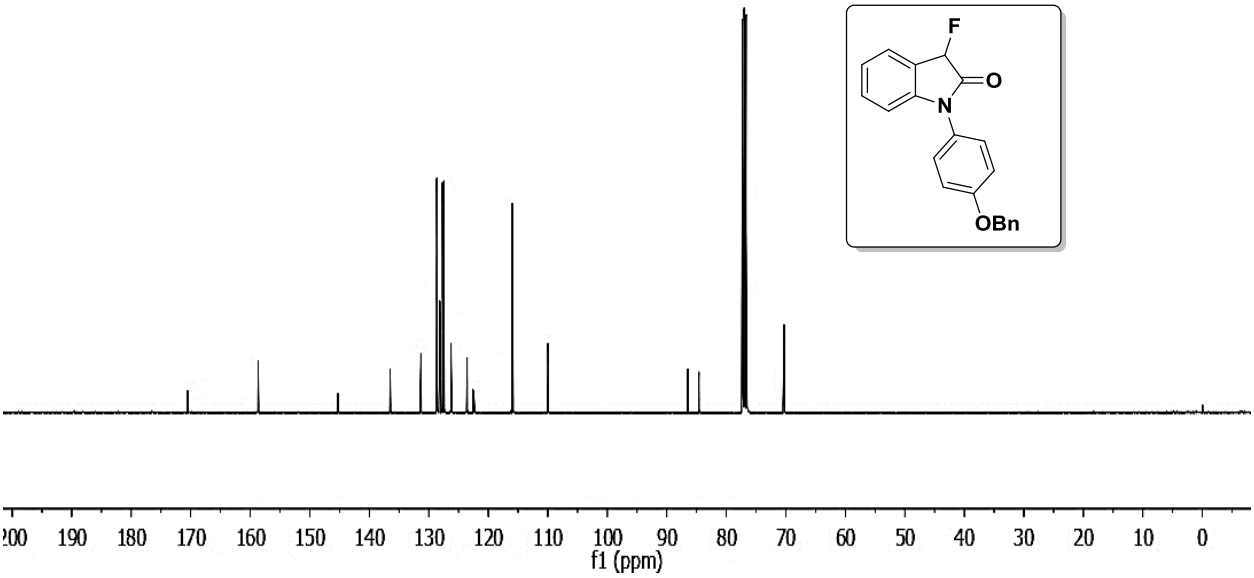
^{19}F NMR spectrum of 5-chloro-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (1e).



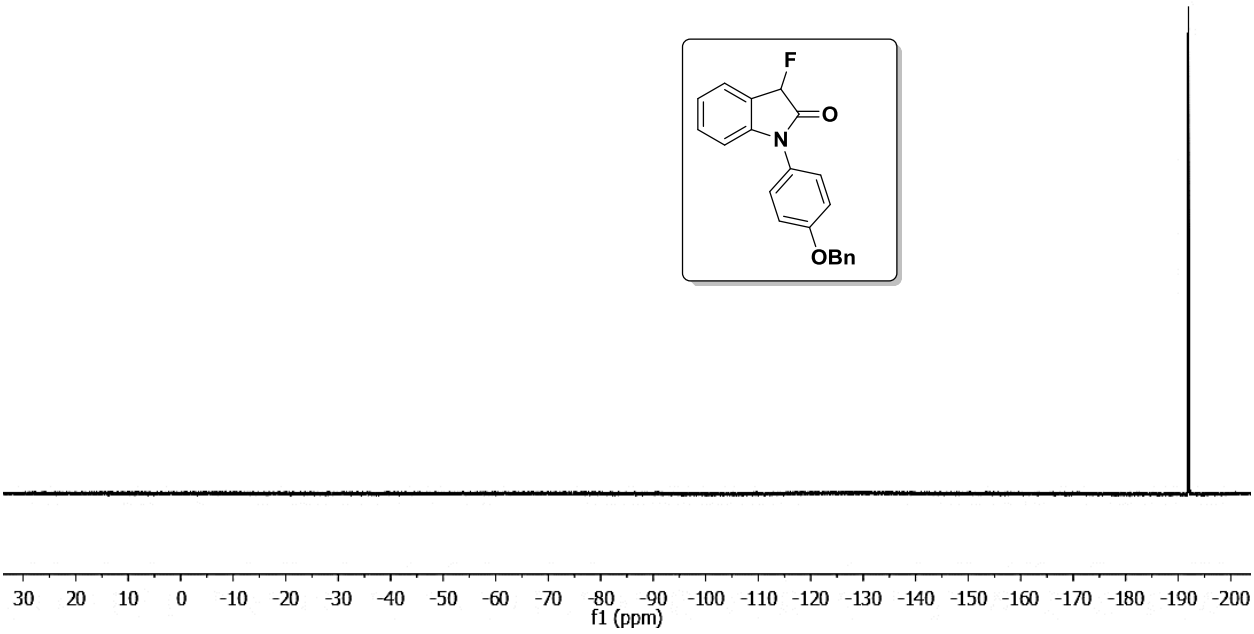
^{1}H NMR spectrum of 1-(4-(benzyloxy)phenyl)-3-fluoroindolin-2-one (1f).



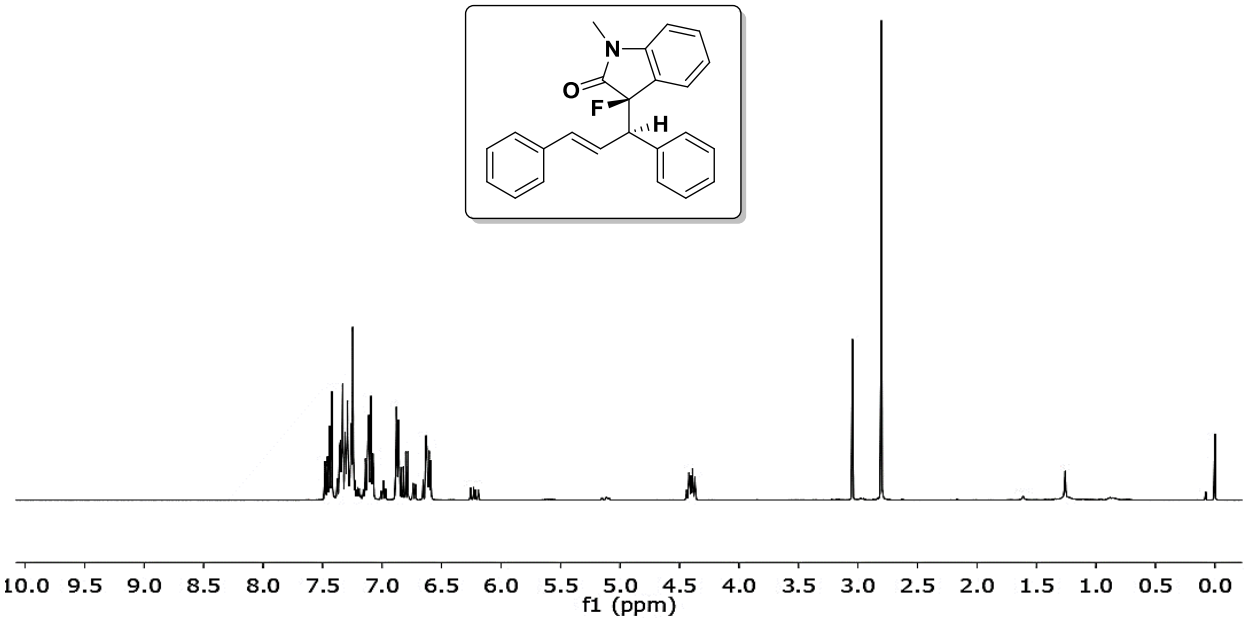
^{13}C NMR spectrum of 1-(4-(benzyloxy)phenyl)-3-fluoroindolin-2-one (1f).



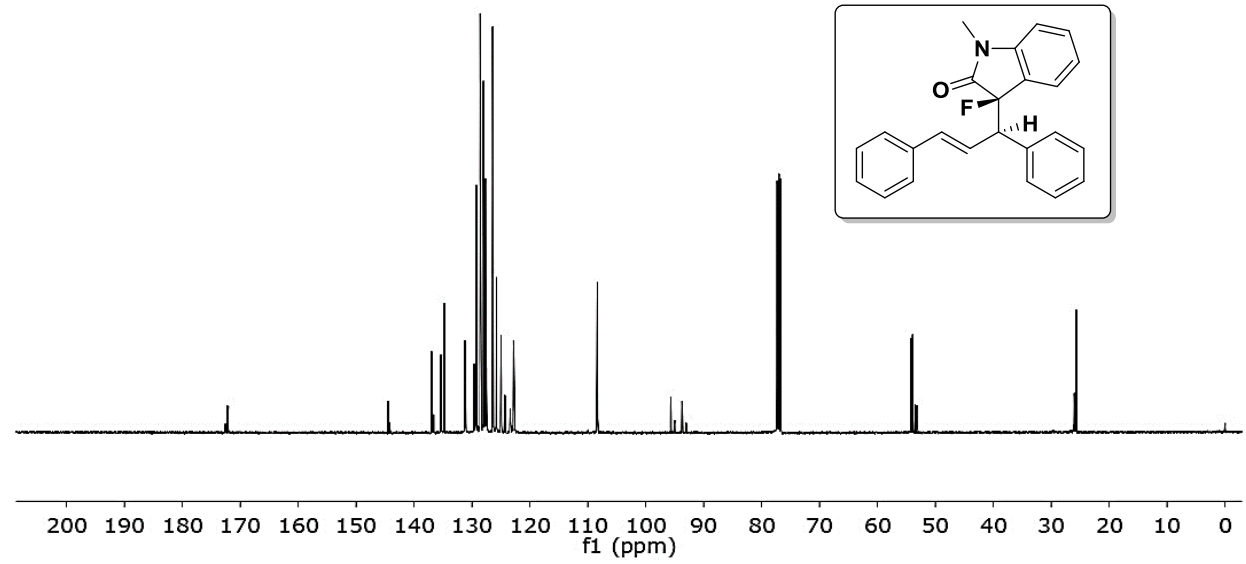
^{19}F NMR spectrum of 1-(4-(benzyloxy)phenyl)-3-fluoroindolin-2-one (1f).



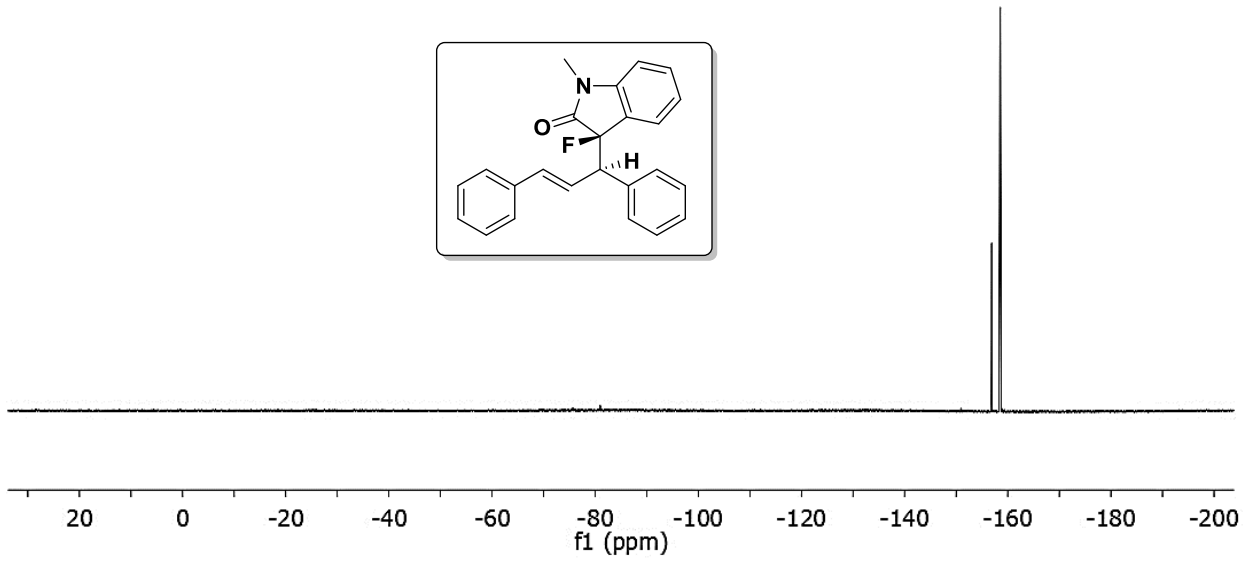
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa).



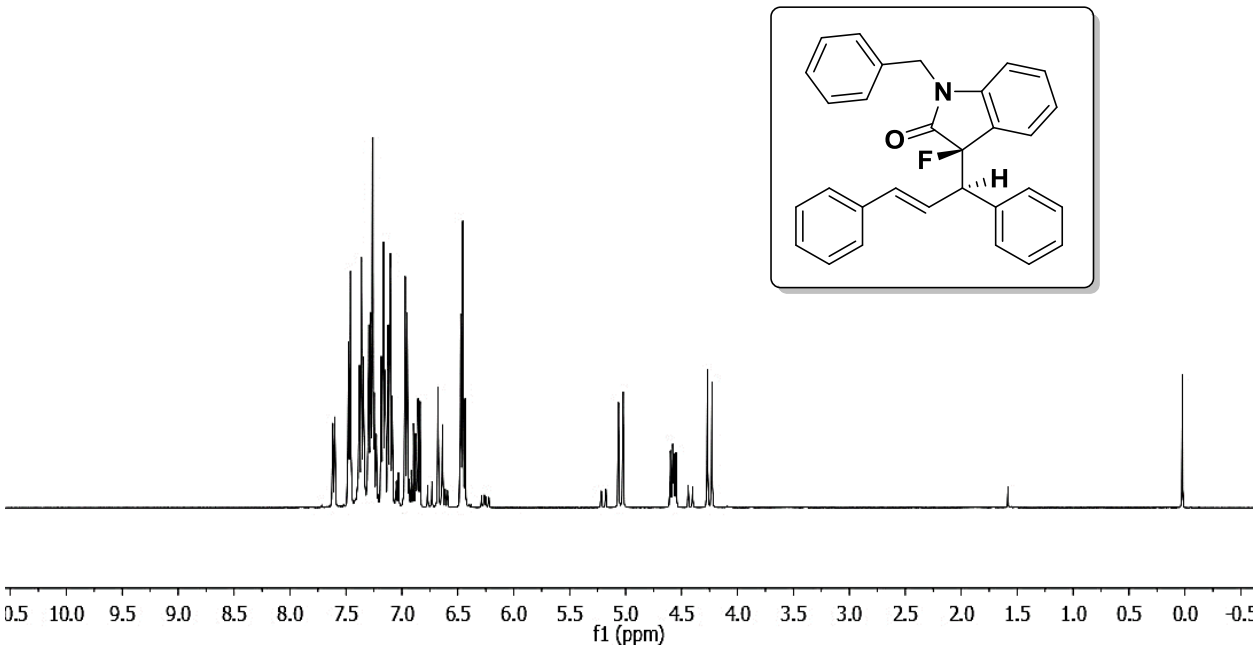
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa).



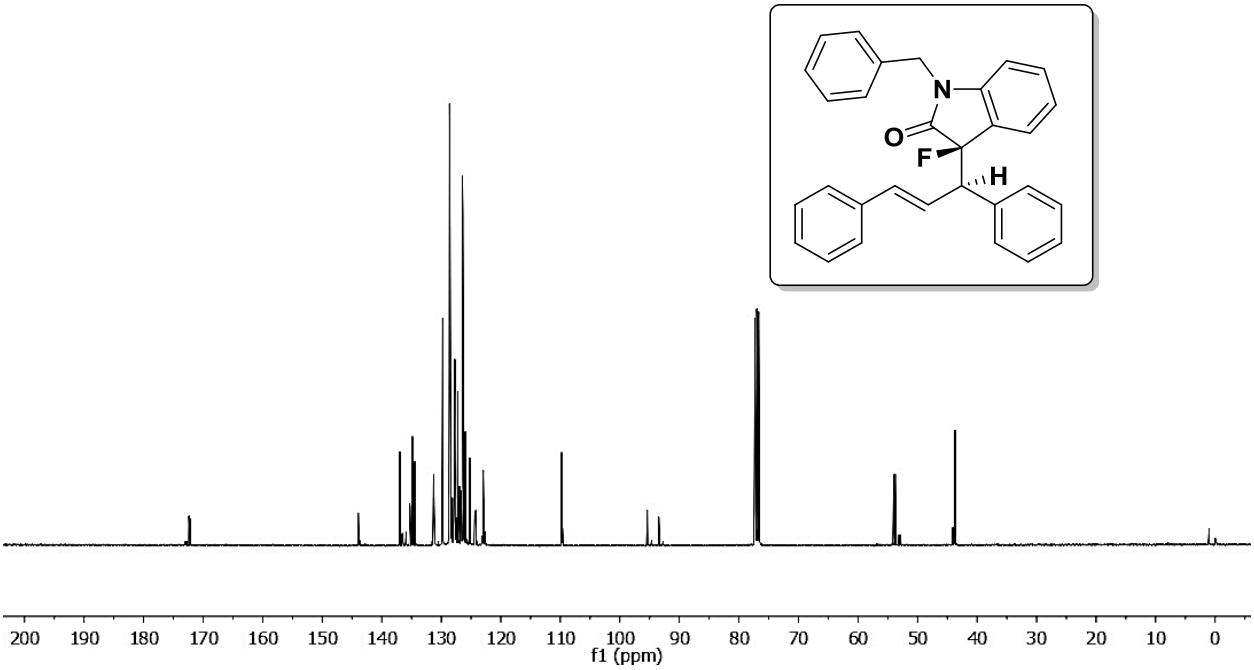
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa).



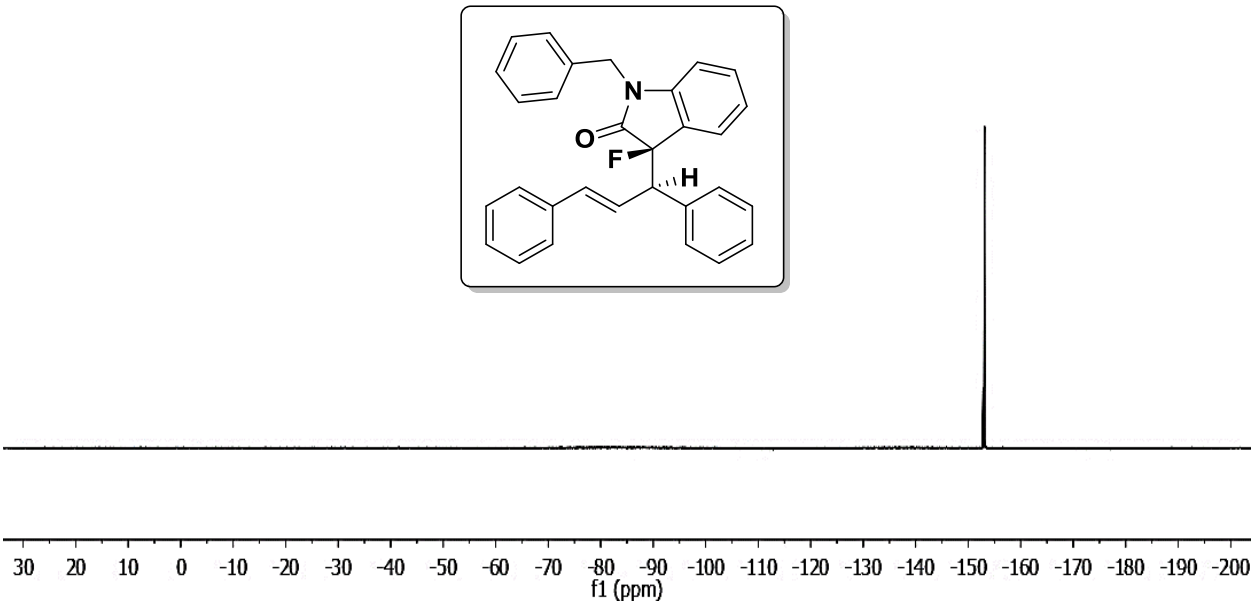
^{1}H NMR spectrum of (*R*)-1-benzyl-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba).



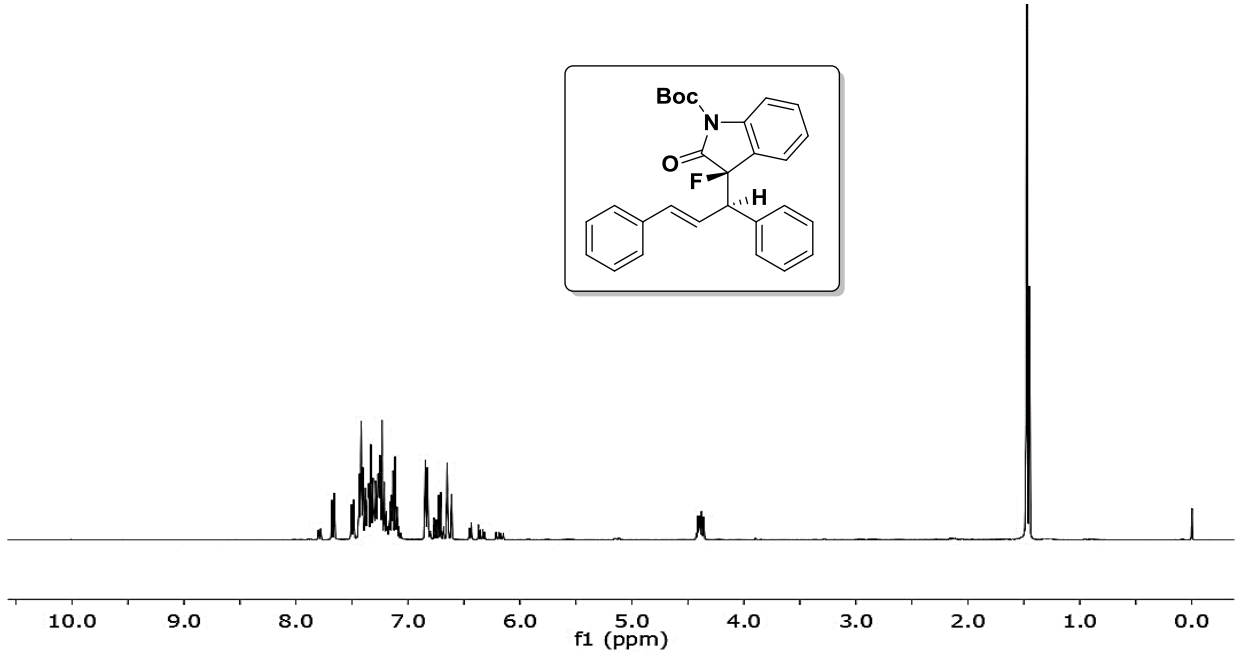
^{13}C NMR spectrum of (*R*)-1-benzyl-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba).



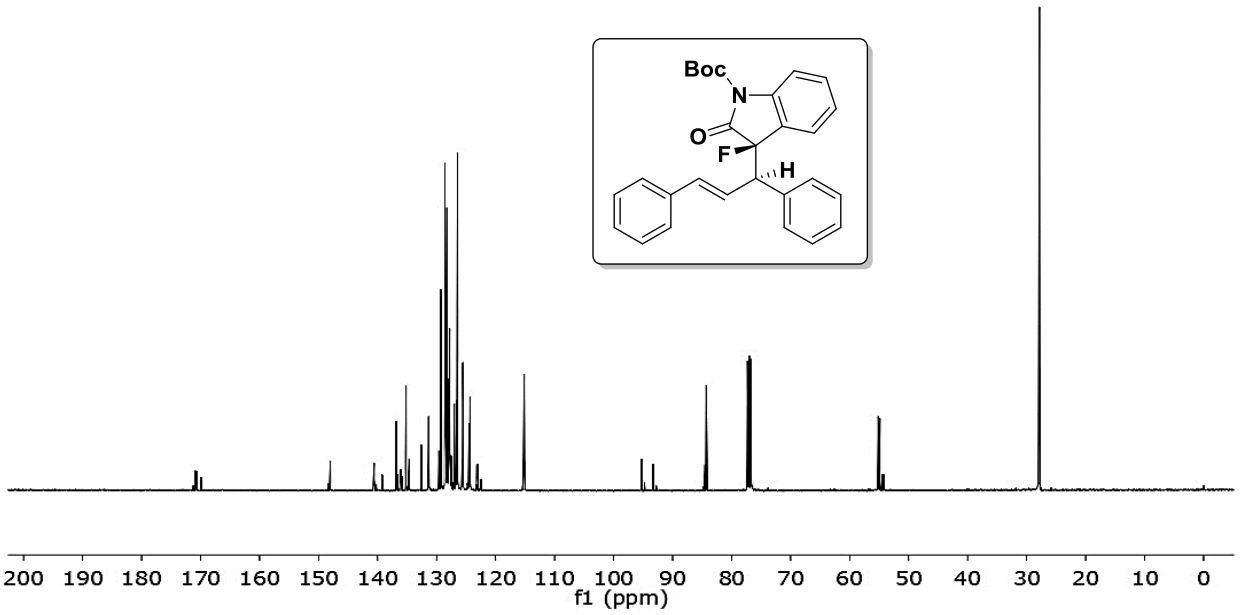
^{19}F NMR spectrum of (*R*)-1-benzyl-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba).



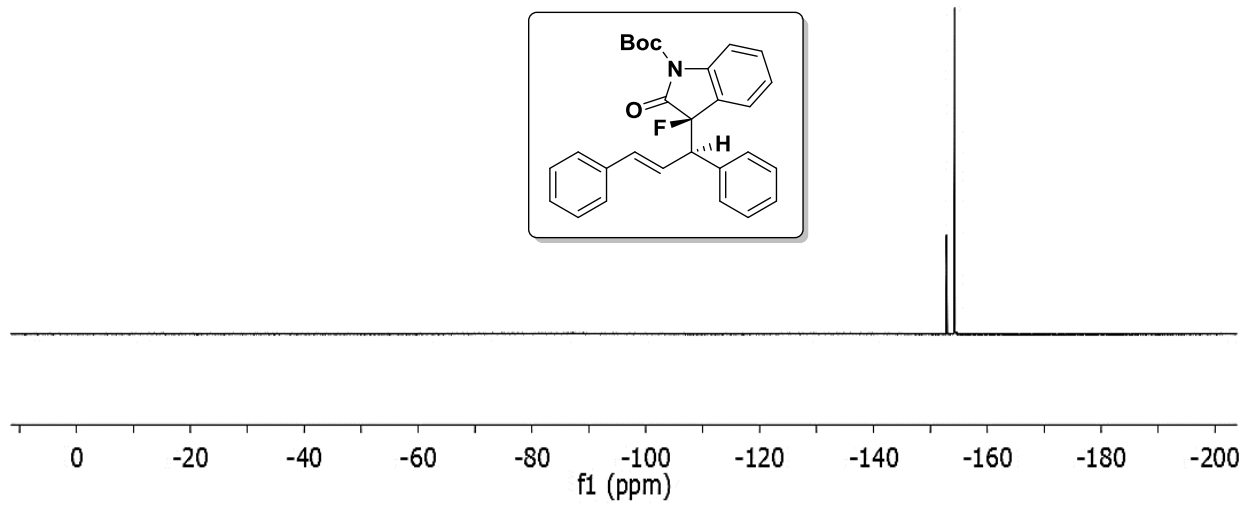
^{1}H NMR spectrum of *N*-^{t}Boc-(*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-2-oxoindoline (3ca).



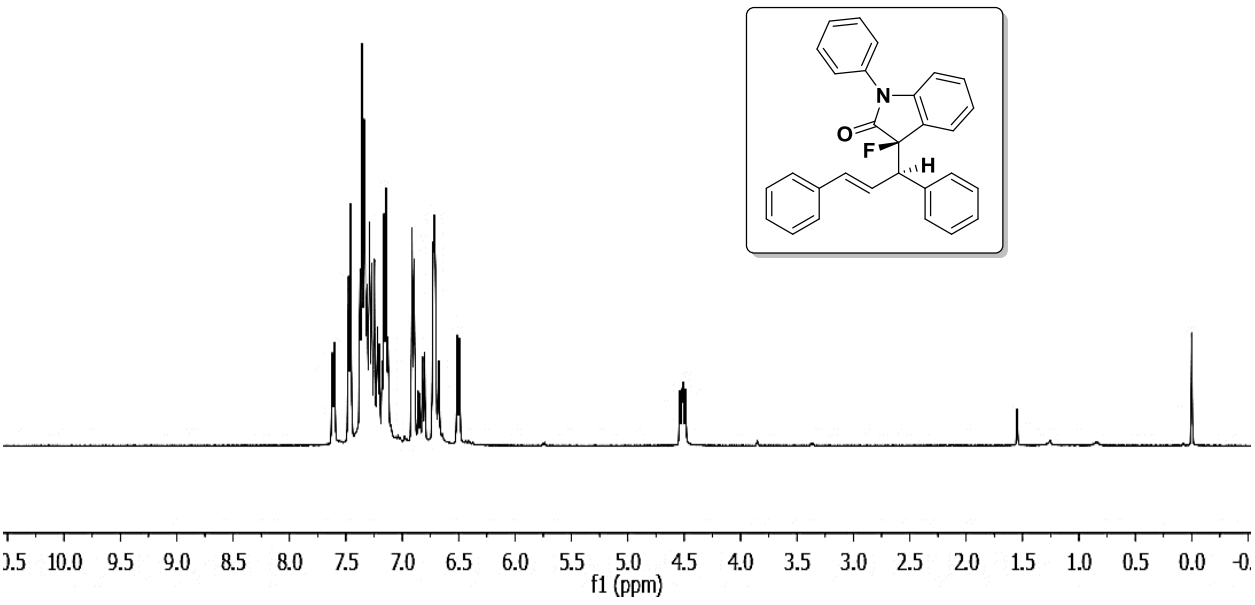
^{13}C NMR spectrum of *N*-^{t}Boc-(*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-2-oxoindoline (3ca).



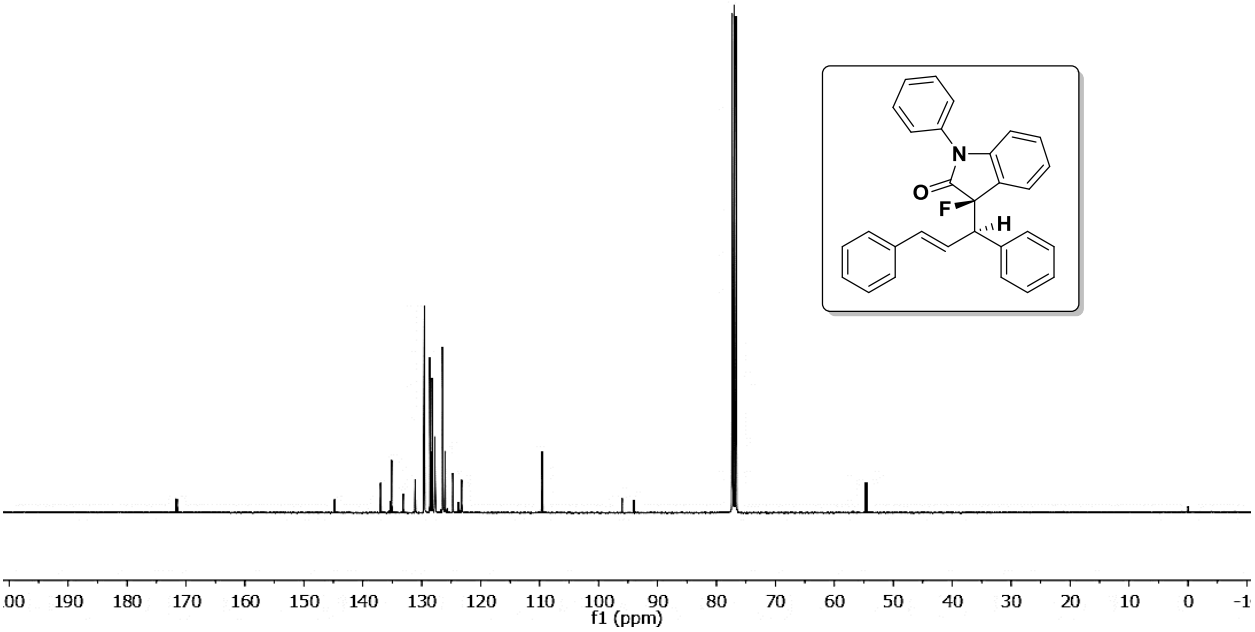
^{19}F NMR spectrum of *N*-^{t}Boc-(*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-2-oxoindoline (3ca).



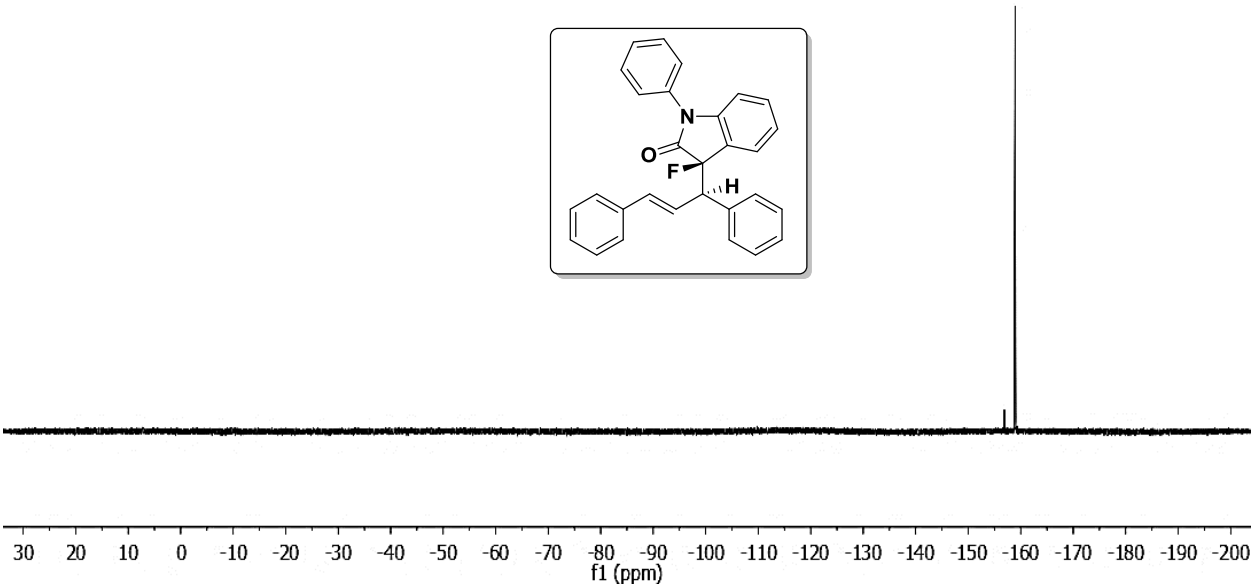
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da).



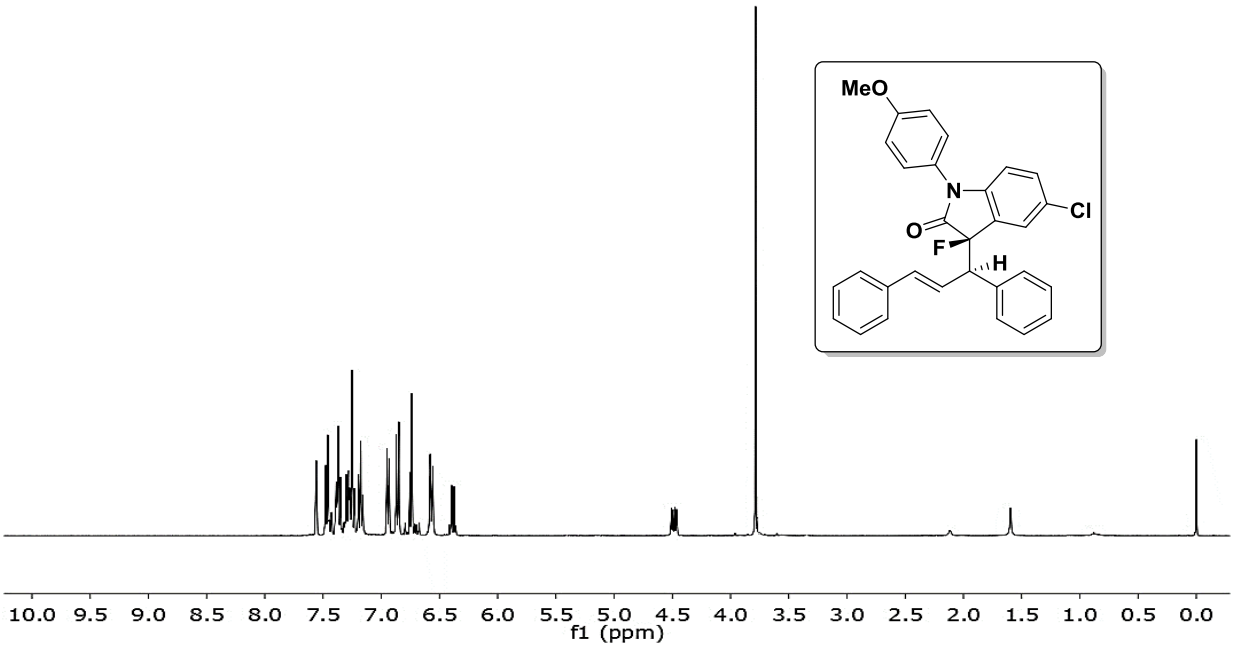
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da).



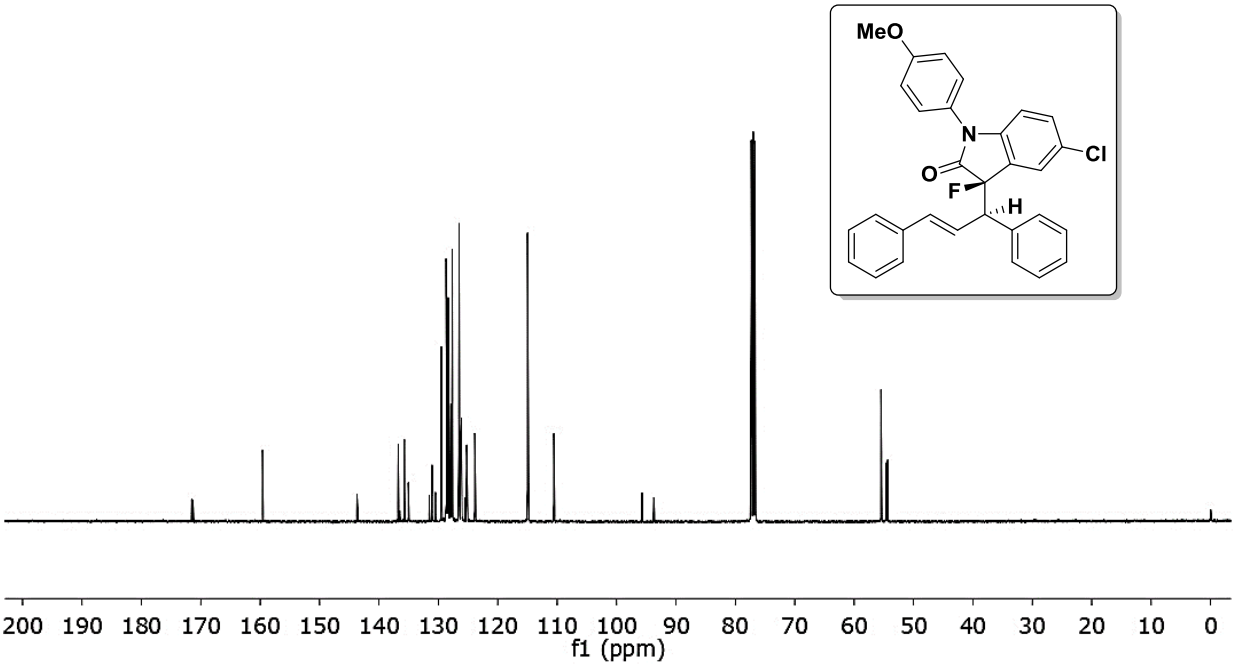
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da).



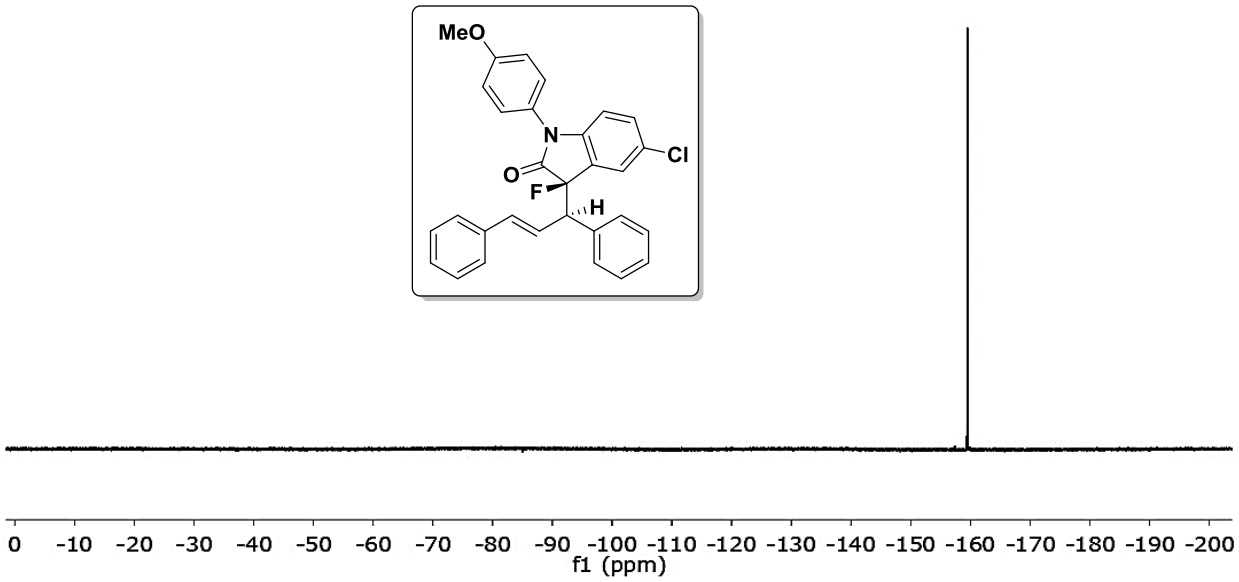
^{1}H NMR spectrum of (*R*)-5-chloro-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea).



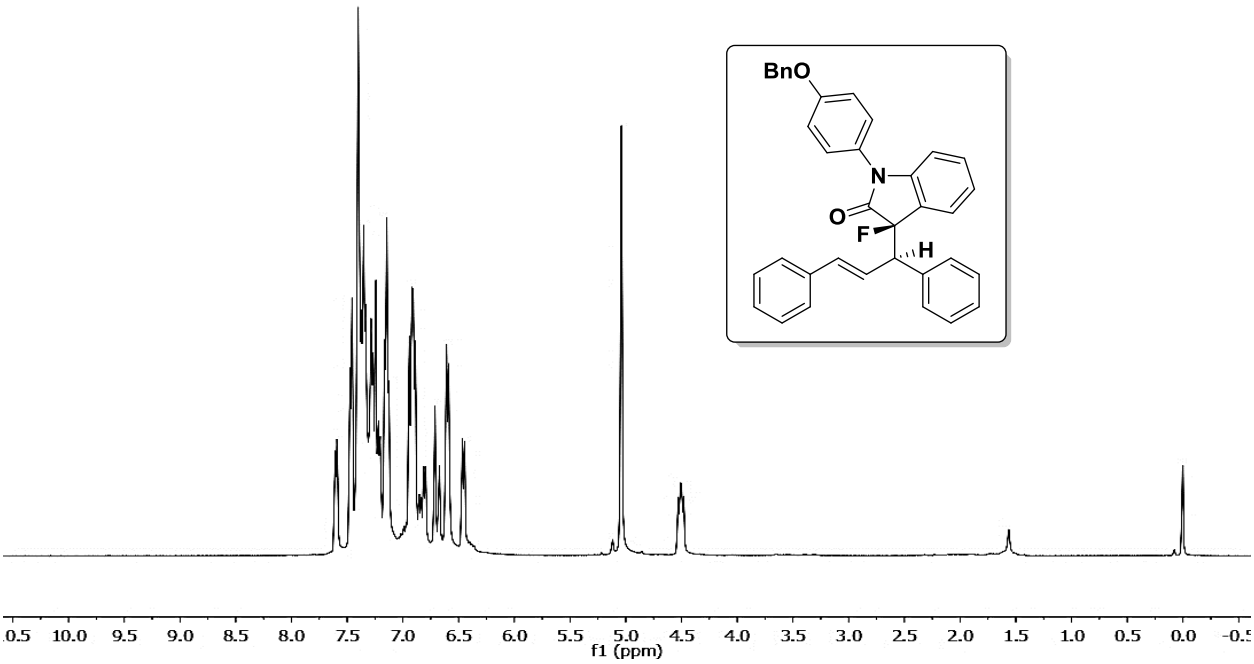
^{13}C NMR spectrum of (*R*)-5-chloro-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea).



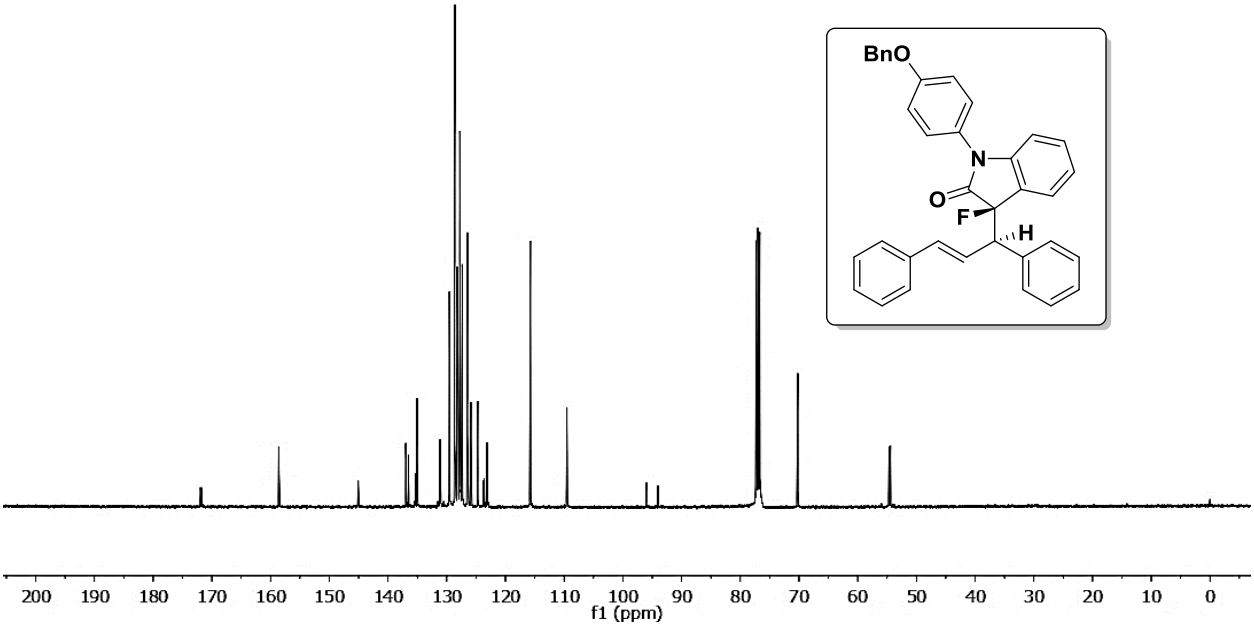
^{19}F NMR spectrum of (*R*)-5-chloro-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea).



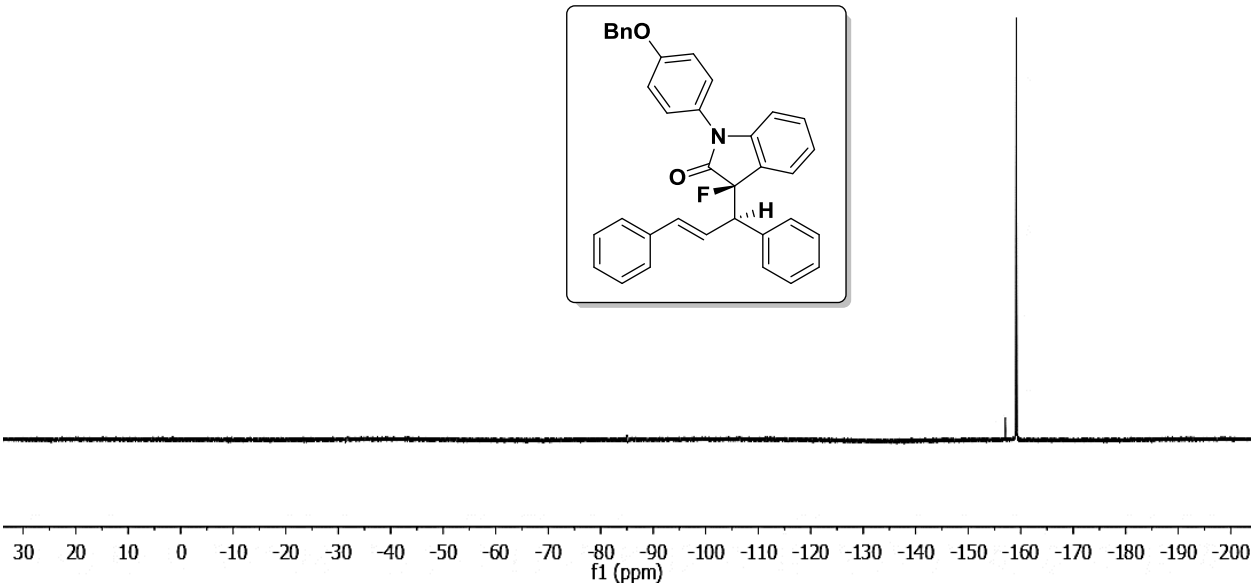
^{1}H NMR spectrum of (*R*)-1-(4-(benzyloxy)phenyl)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa).



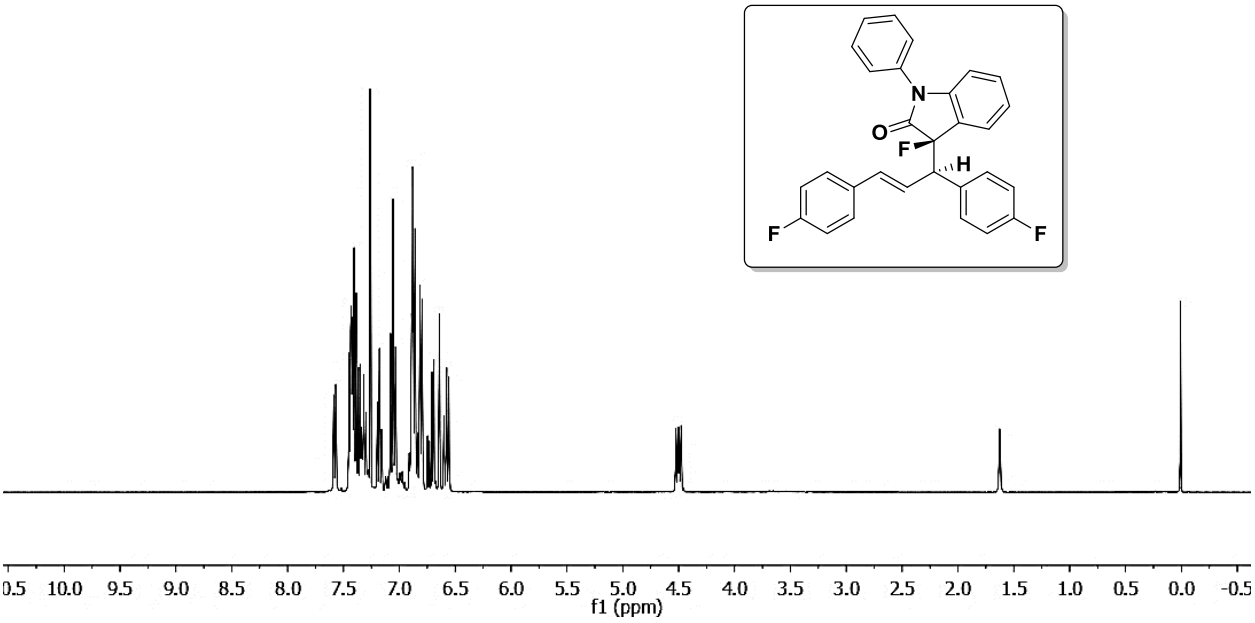
^{13}C NMR spectrum of (*R*)-1-(4-(benzyloxy)phenyl)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa).



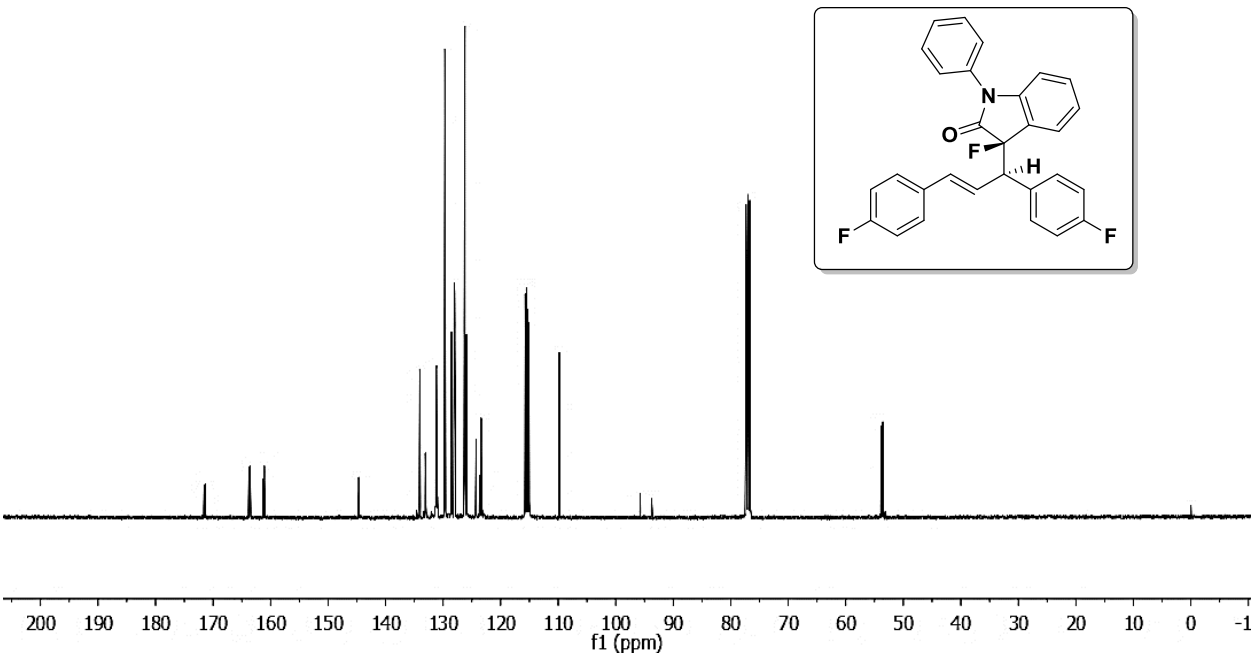
^{19}F NMR spectrum of (*R*)-1-(4-(benzyloxy)phenyl)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa).



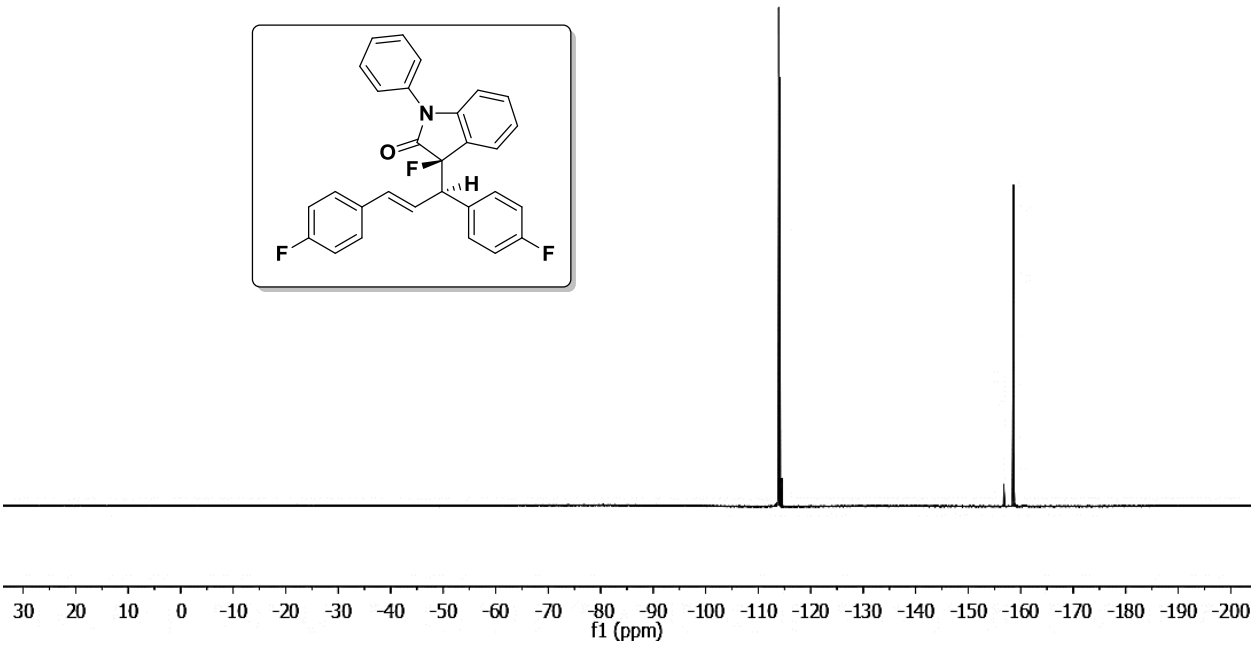
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db).



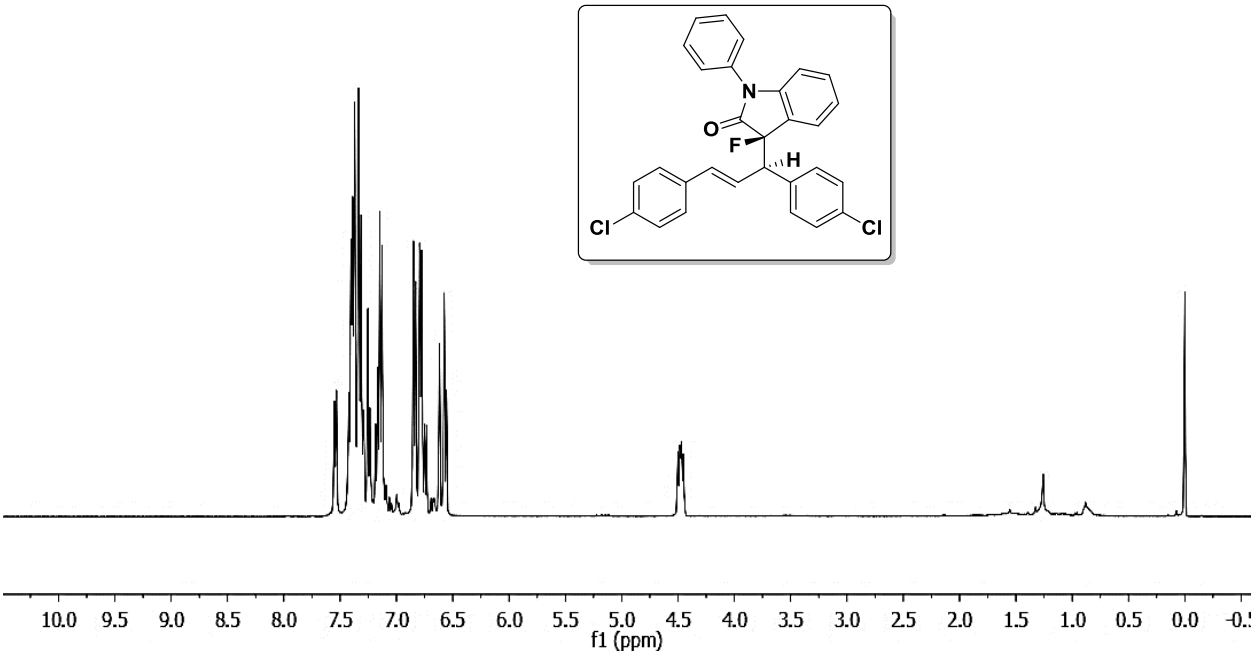
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db).



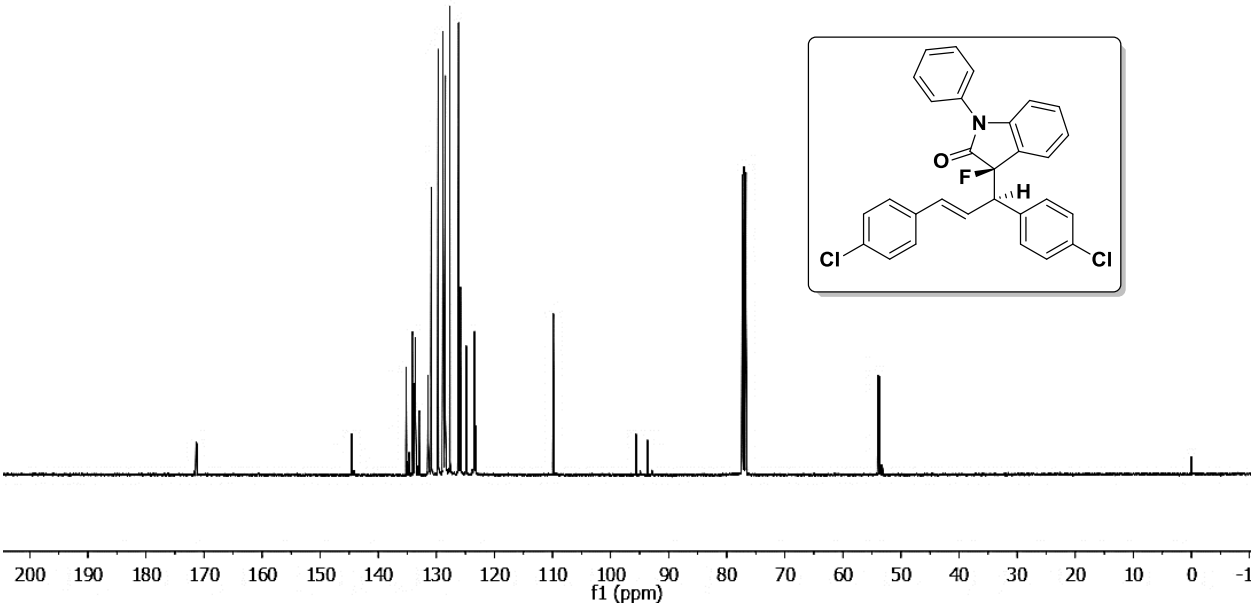
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db).



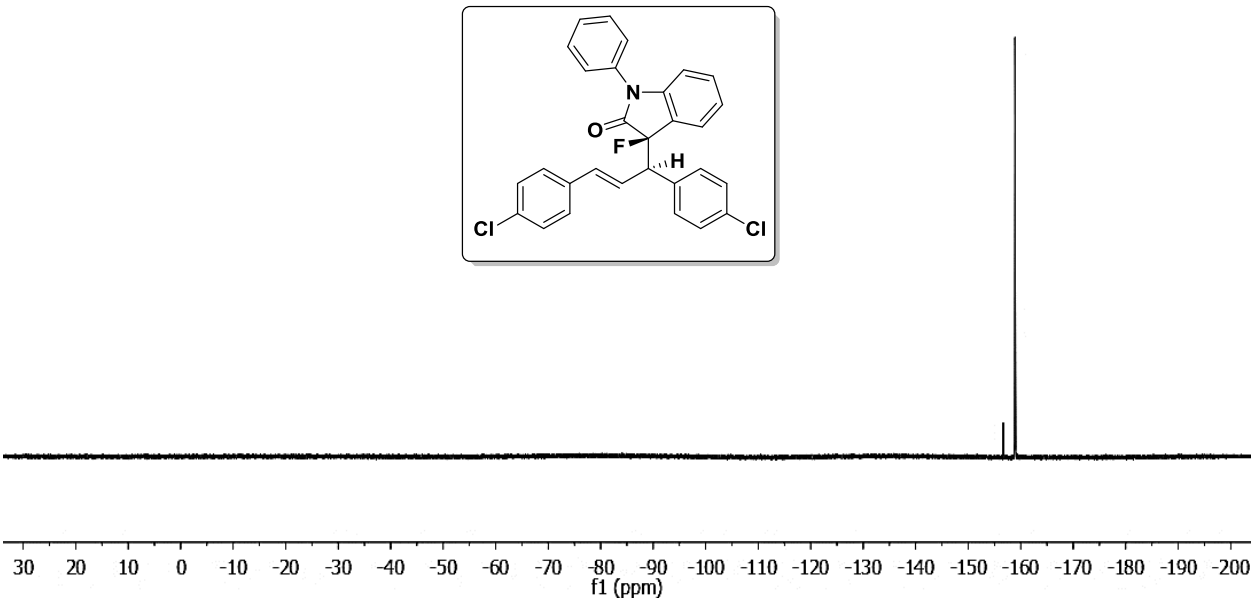
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc).



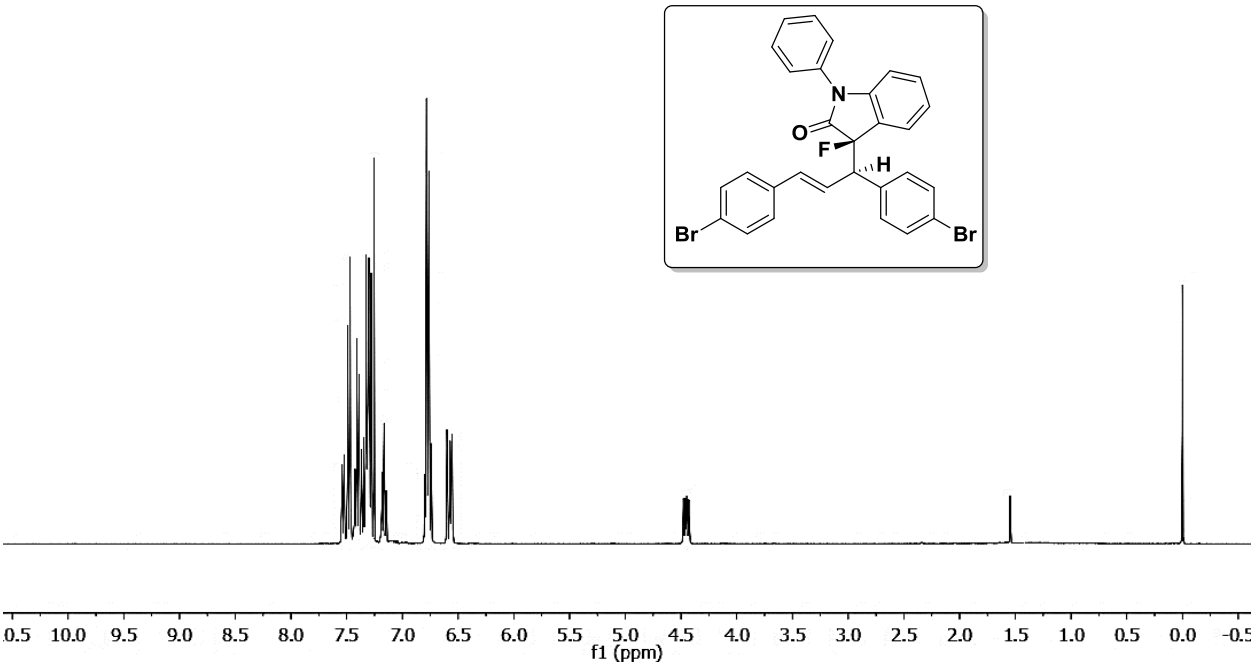
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc).



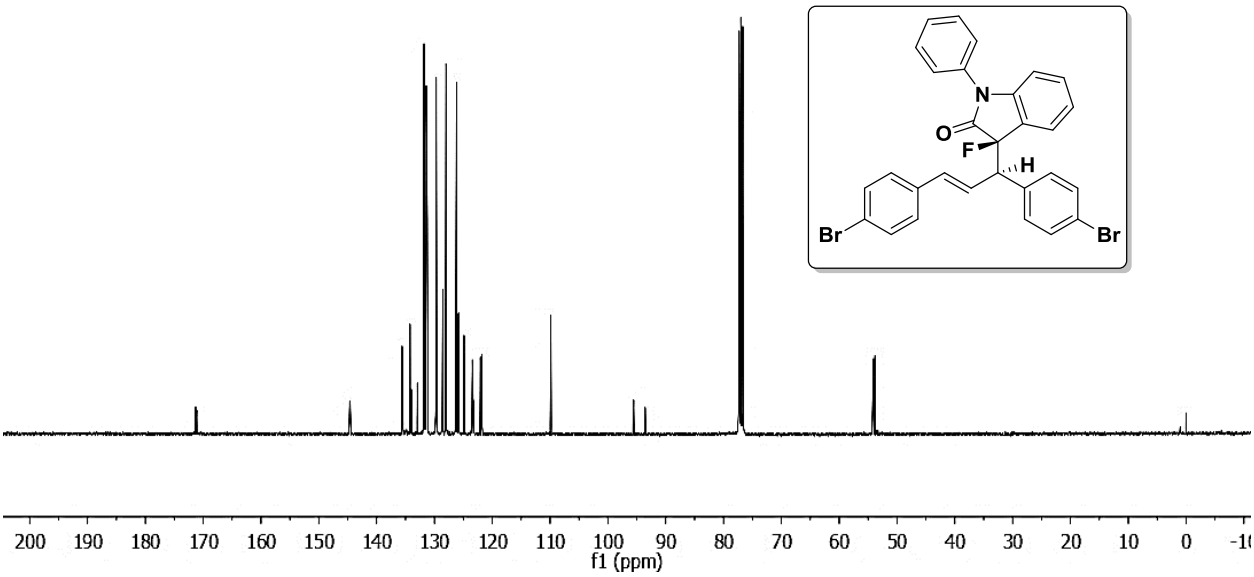
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc).



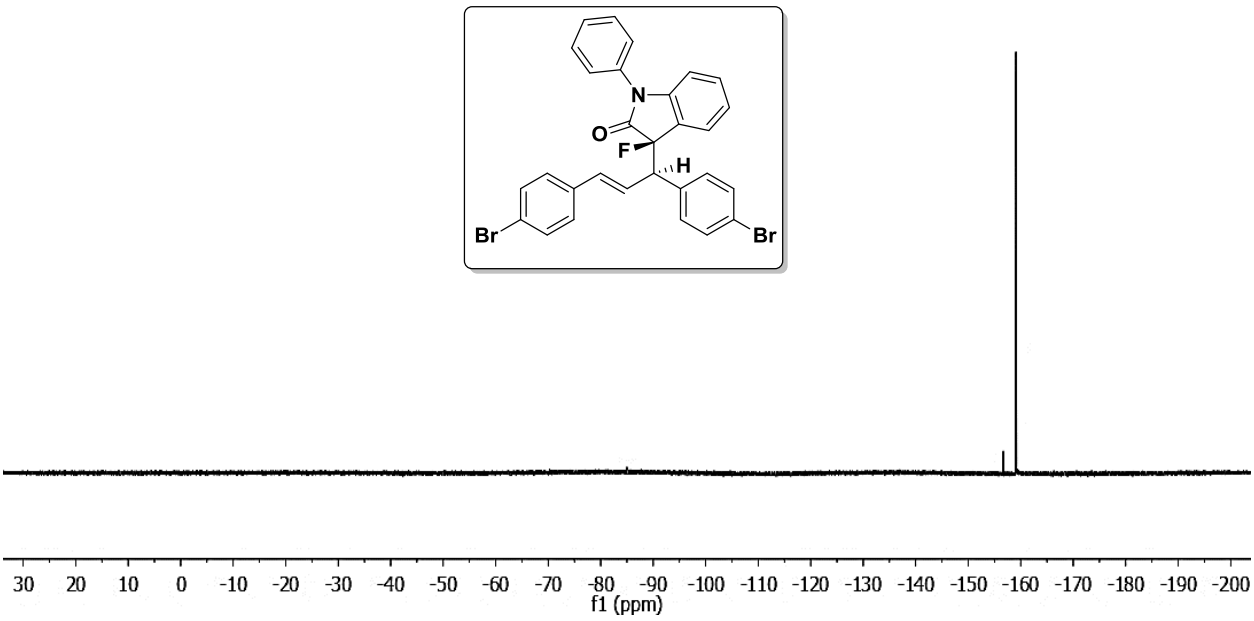
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd).



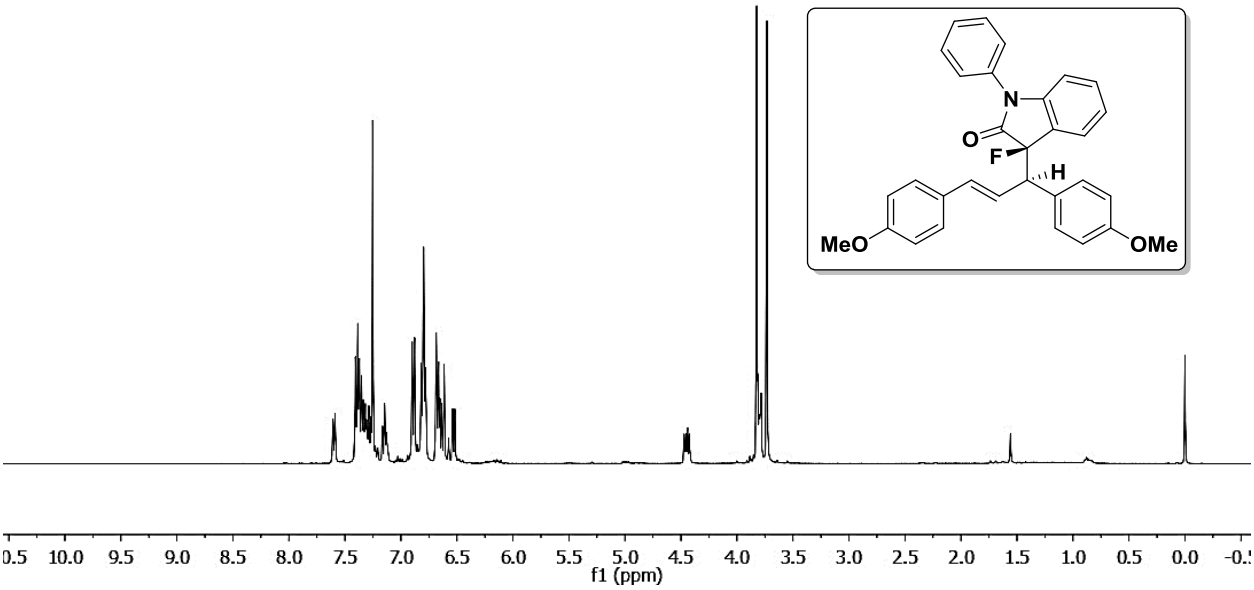
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd).



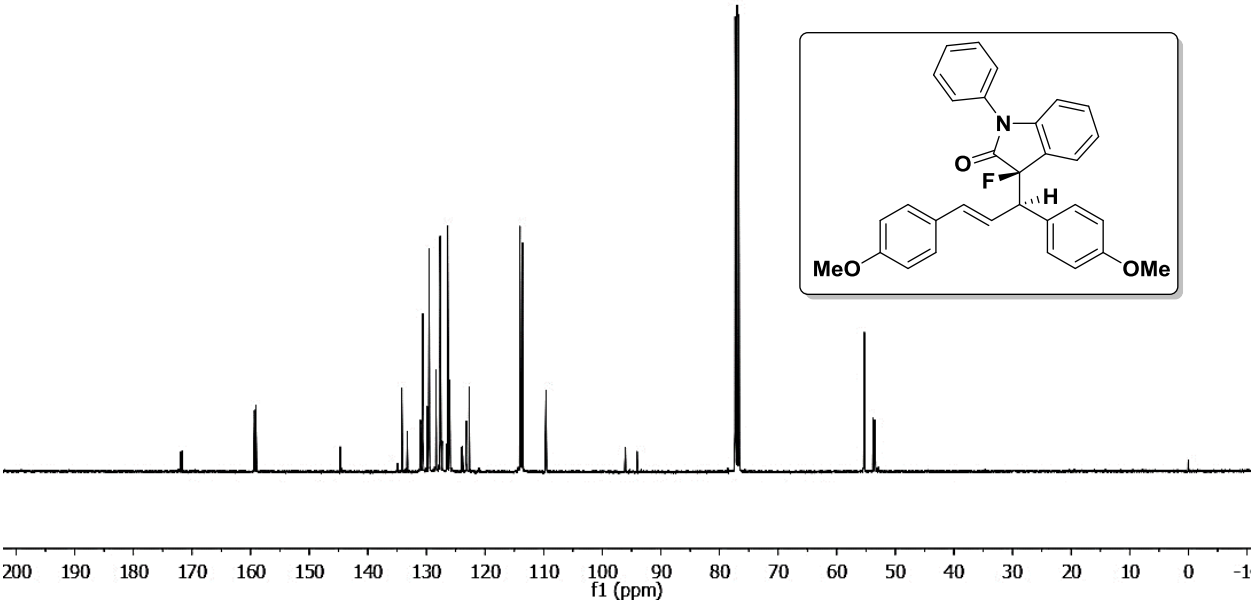
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd).



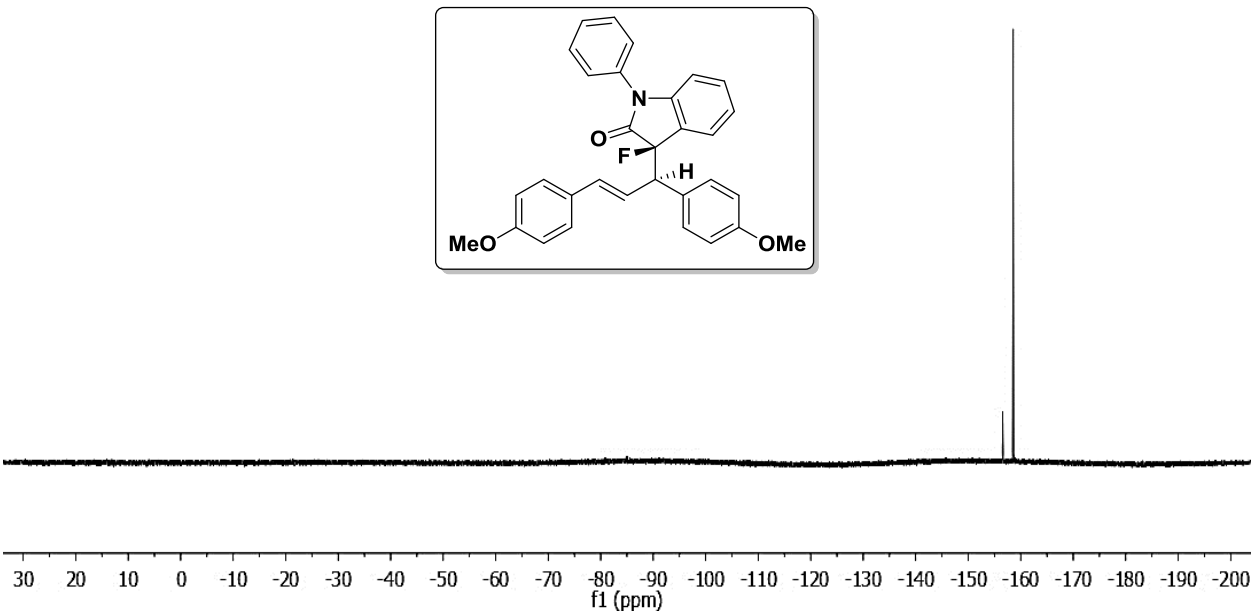
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de).



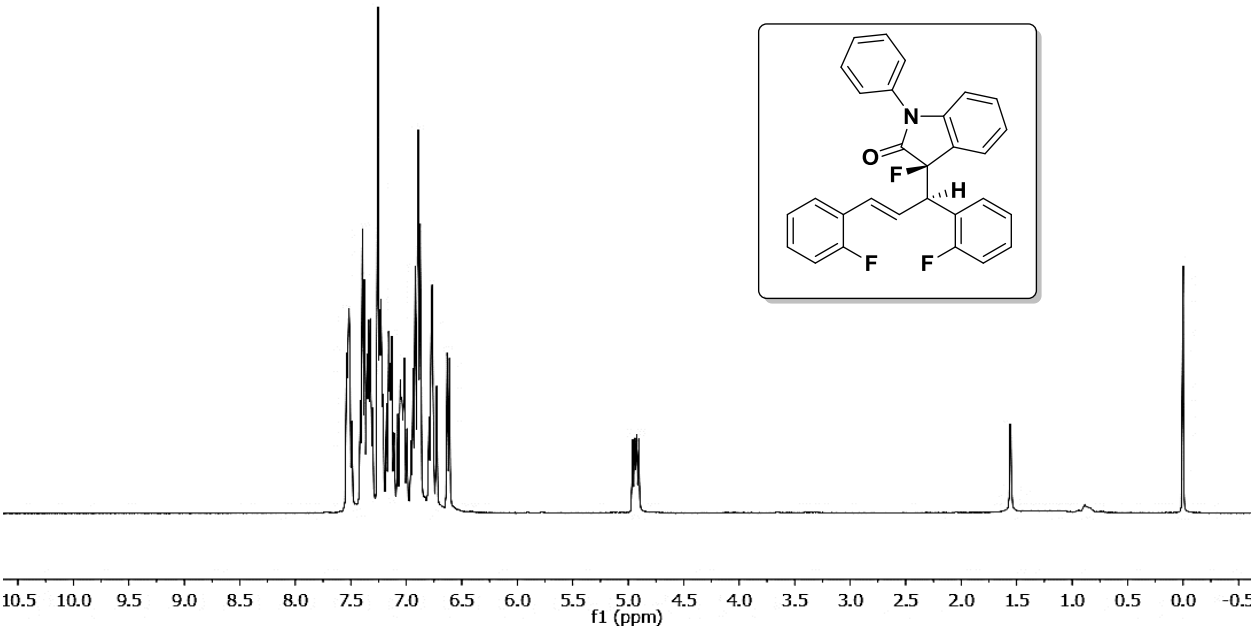
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de).



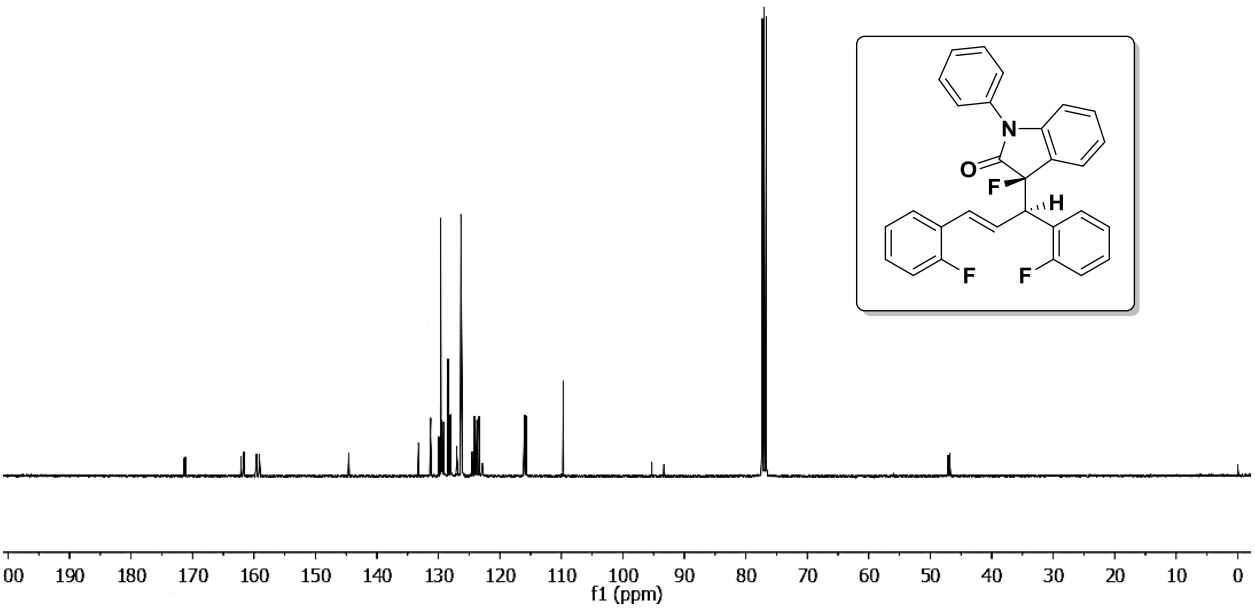
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de).



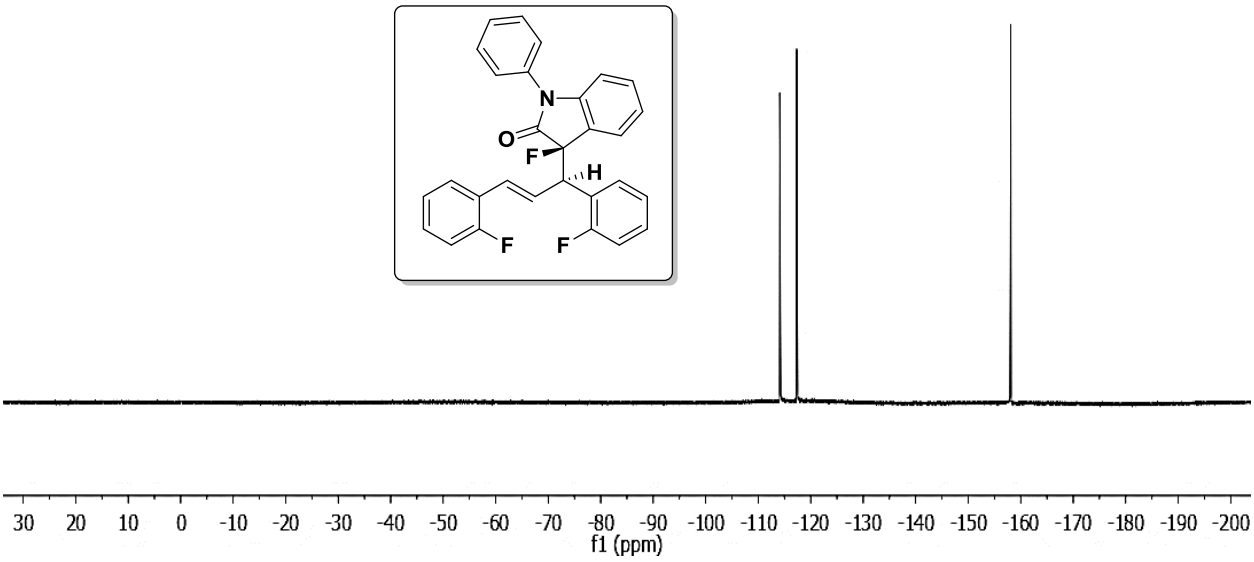
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df).



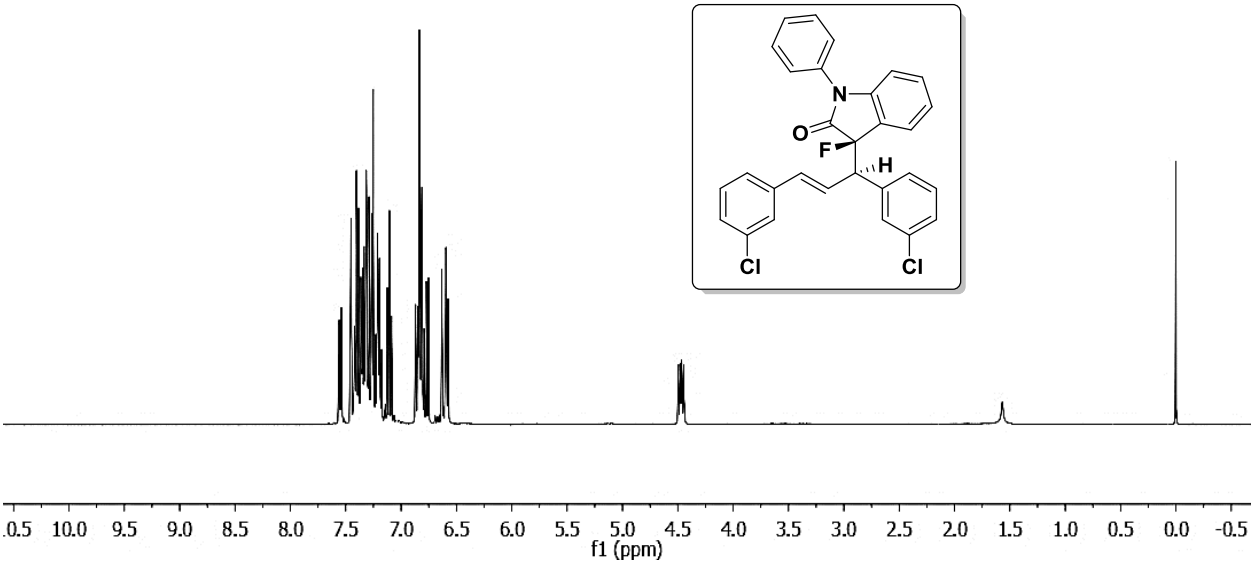
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df).



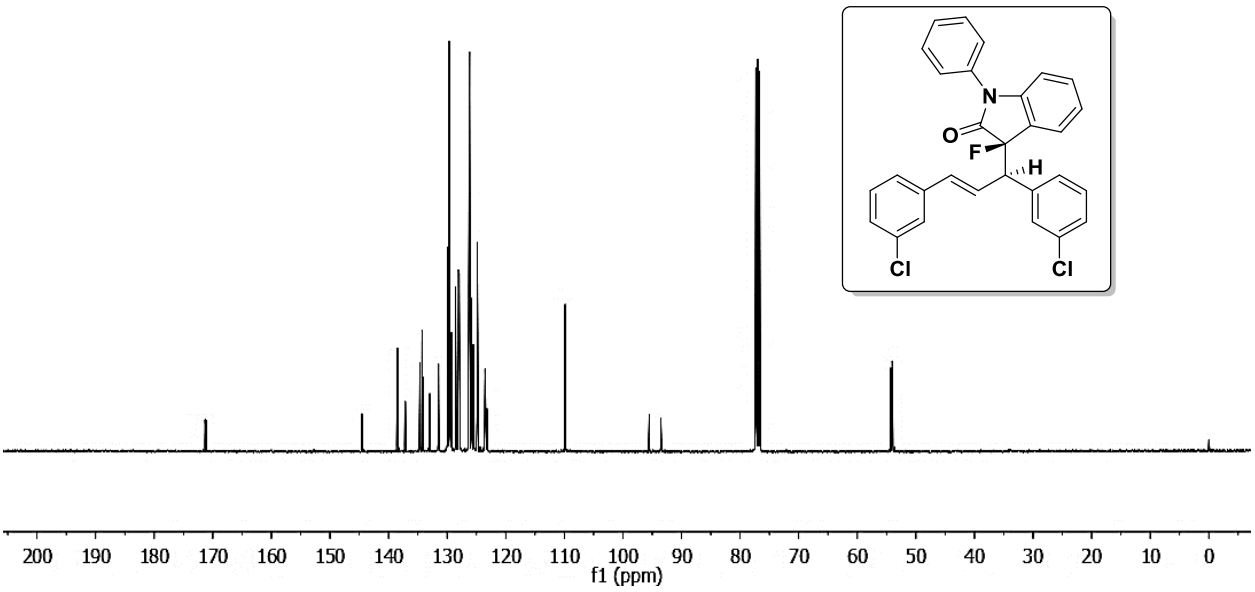
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df).



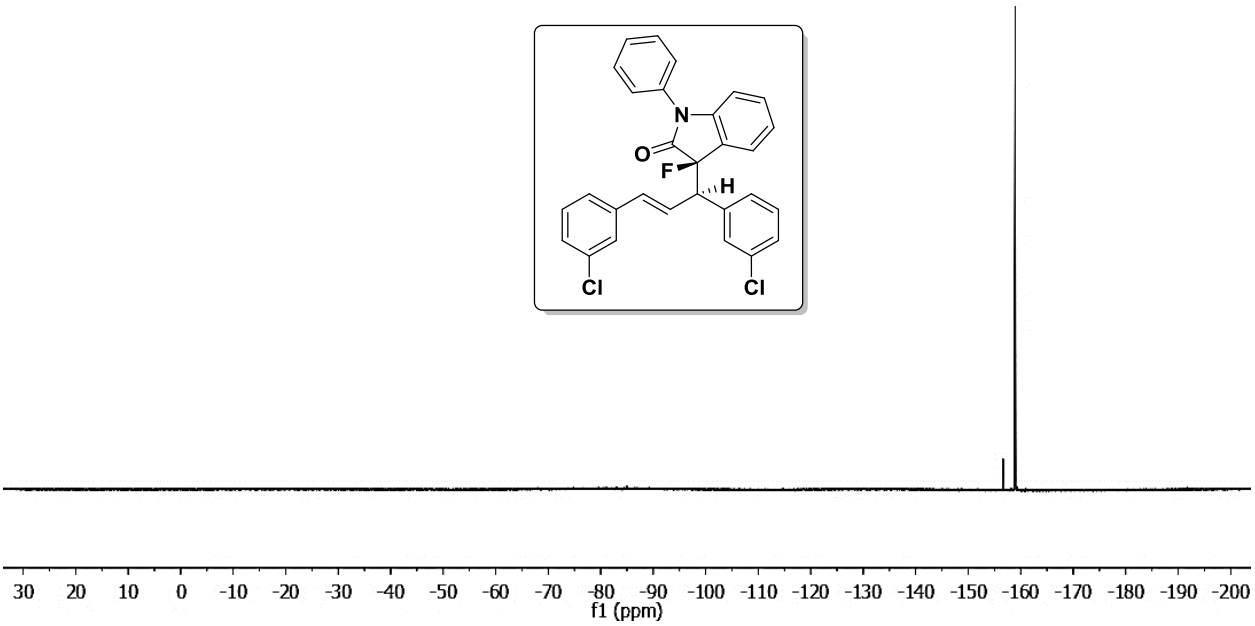
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg).



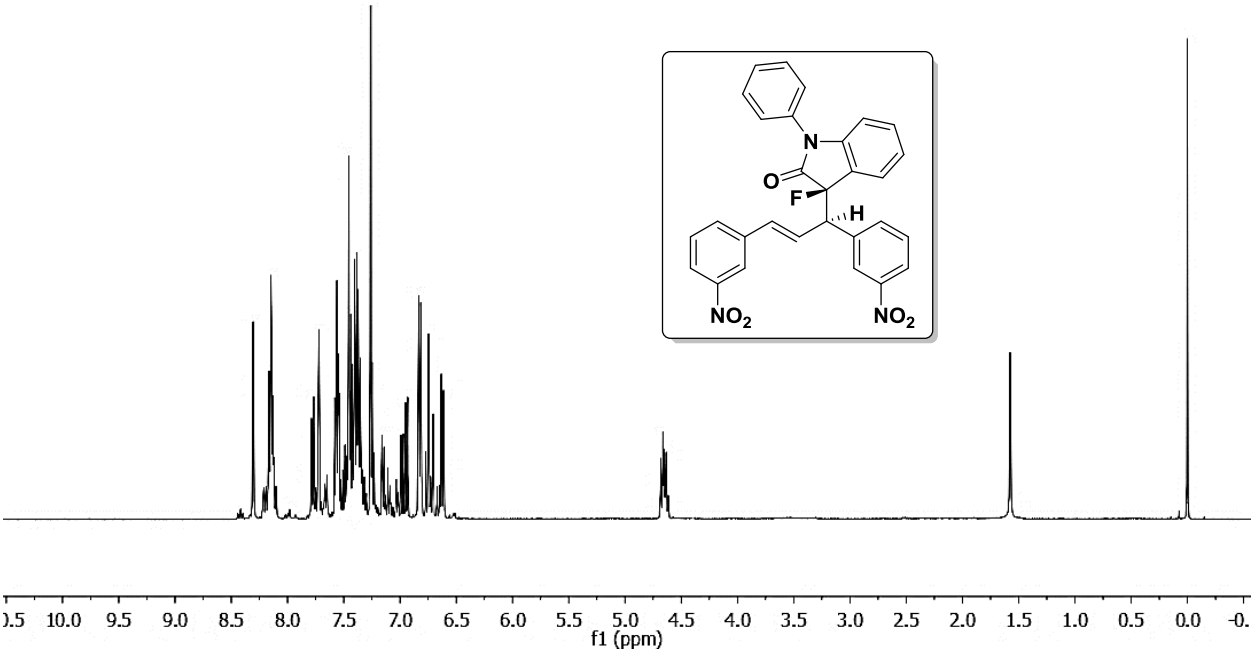
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg).



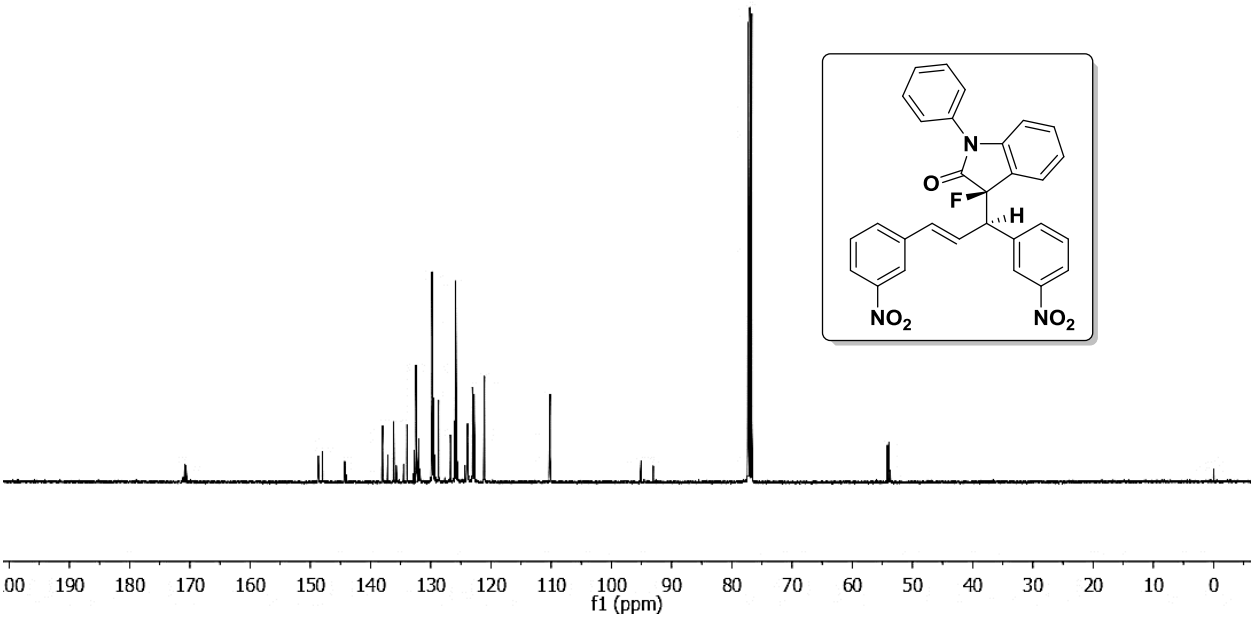
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg).



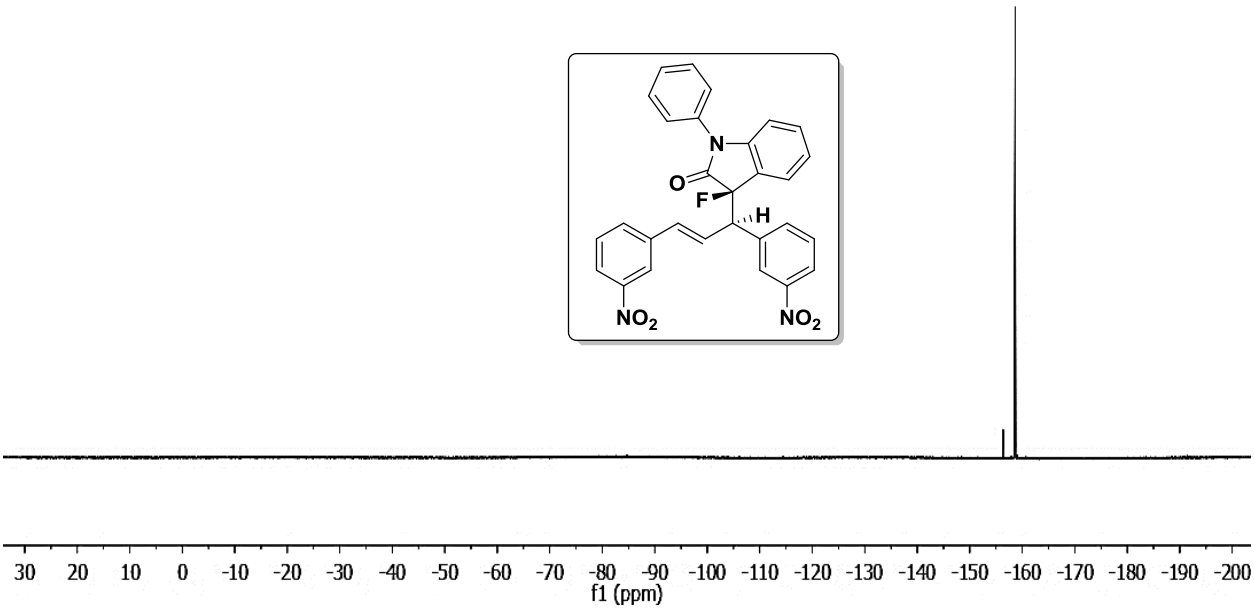
^{1}H NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh).



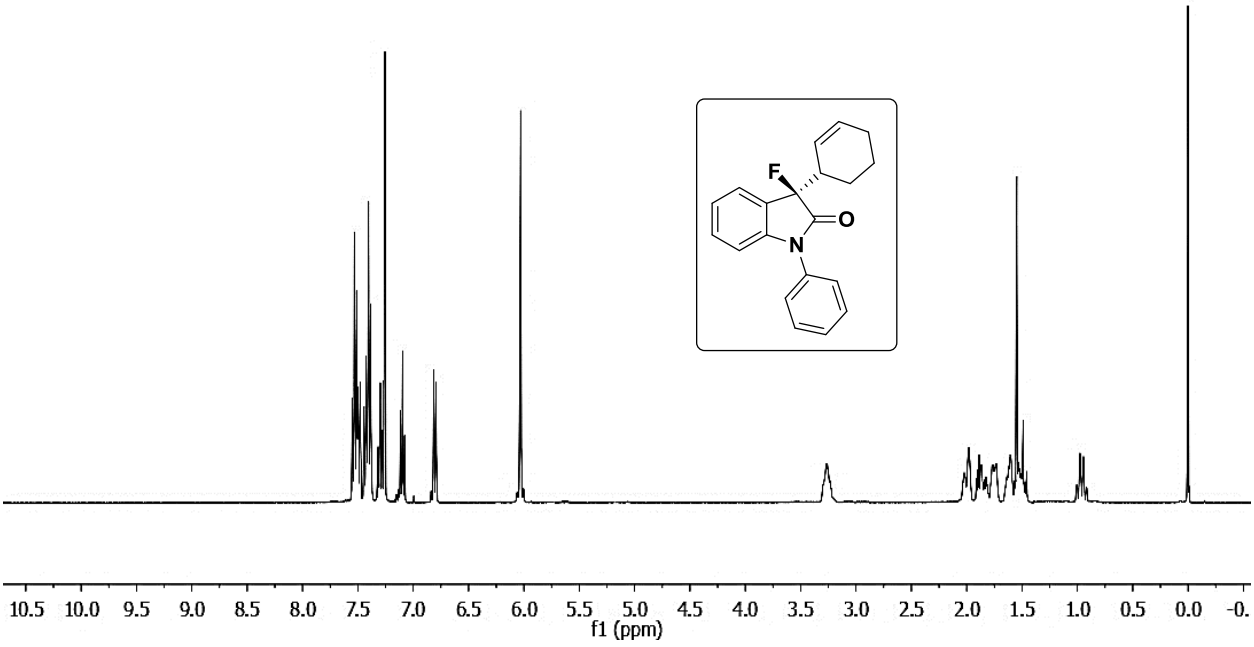
^{13}C NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh).



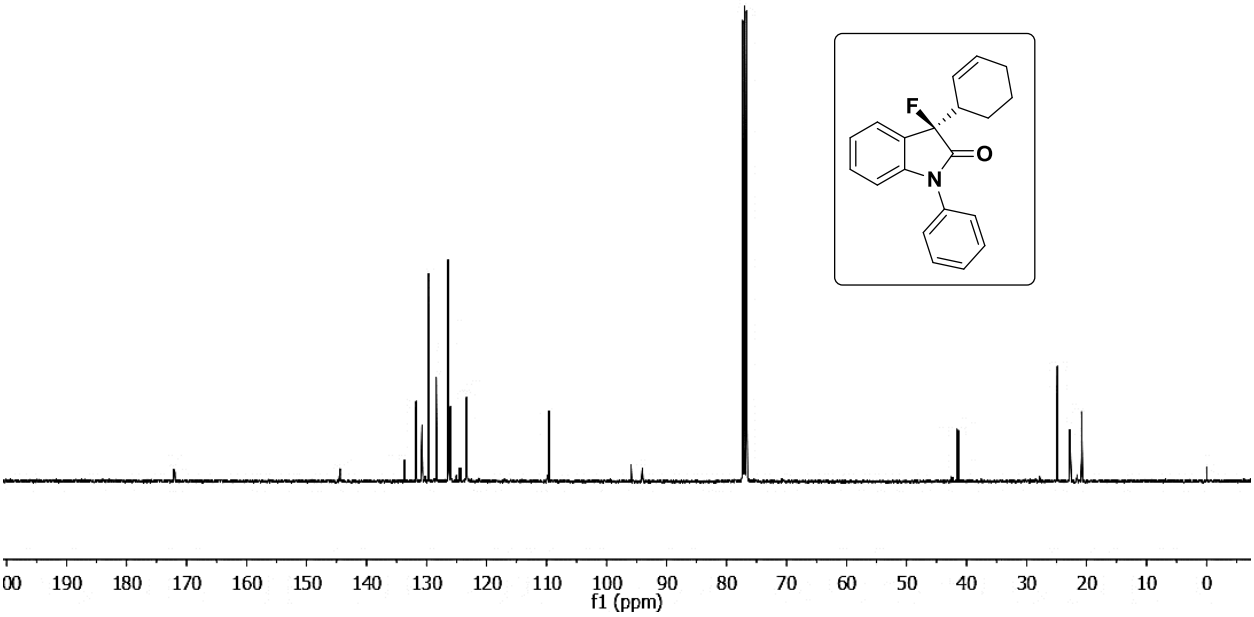
^{19}F NMR spectrum of (*R*)-3-((*R*,*E*)-1,3-bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh).



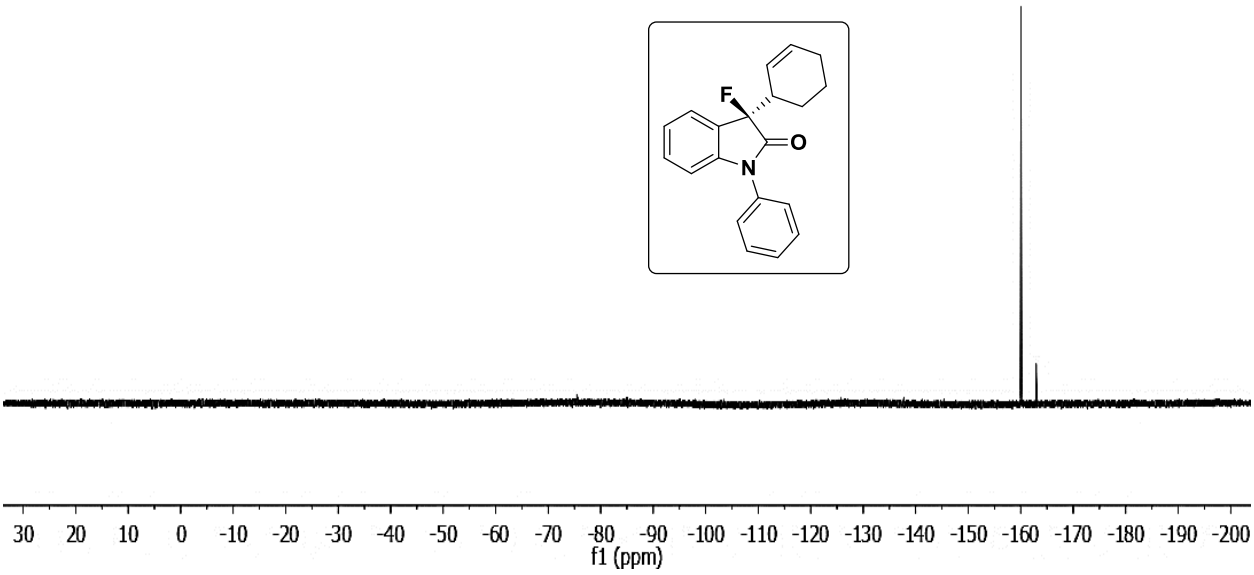
^{1}H NMR spectrum of (*R*)-3-((*R*)-cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di).



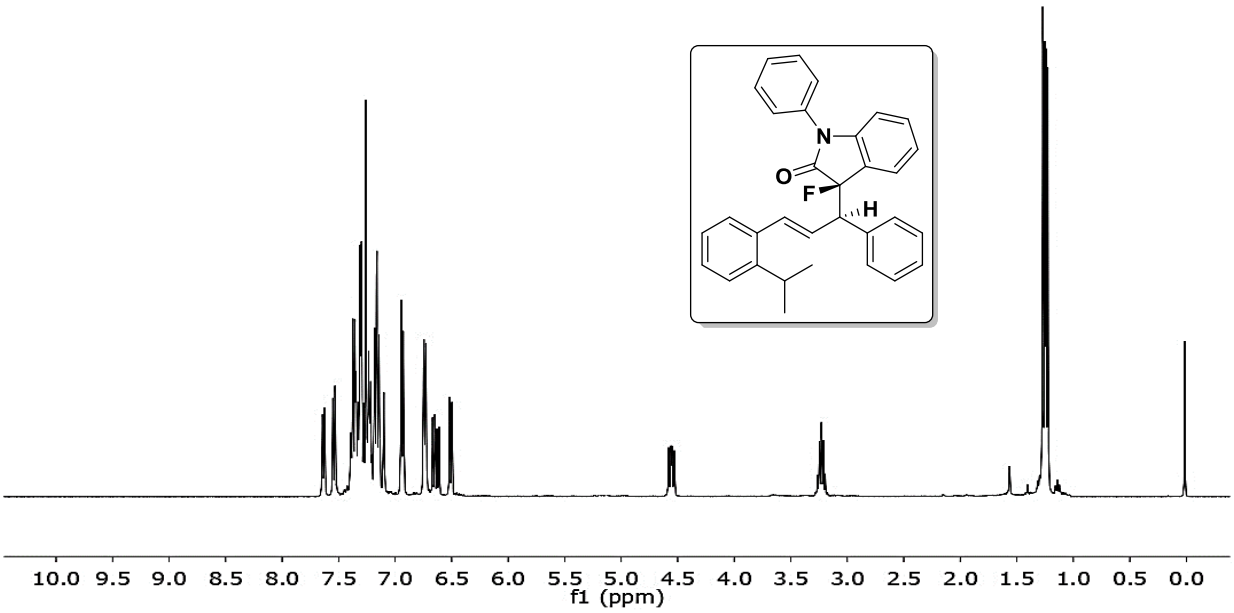
^{13}C NMR spectrum of (*R*)-3-((*R*)-cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di).



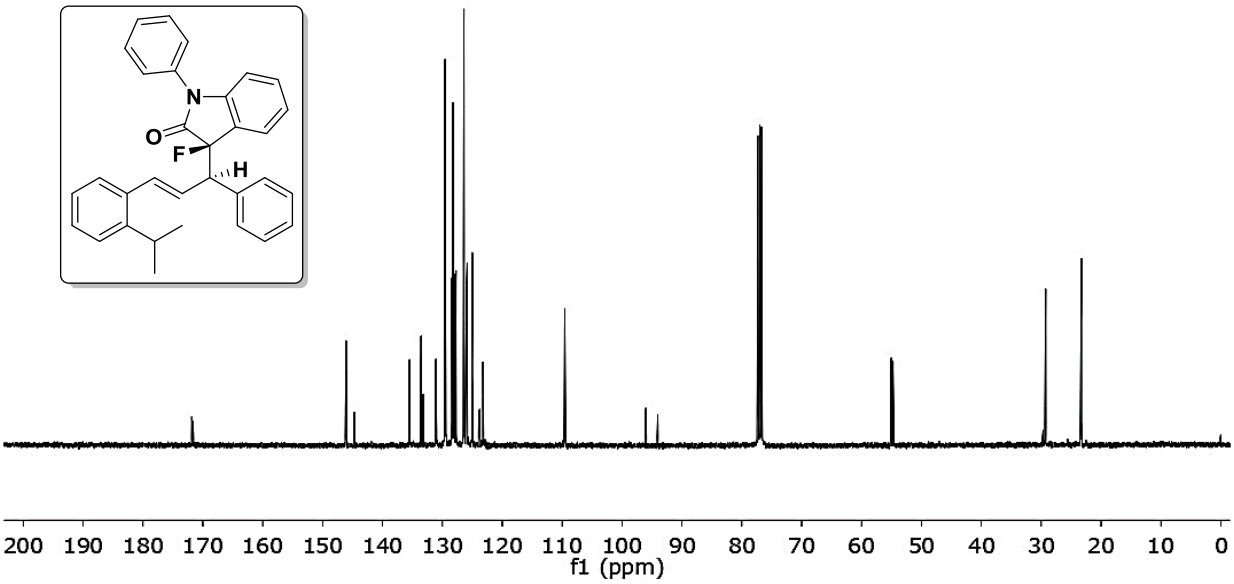
^{19}F NMR spectrum of (*R*)-3-((*R*)-cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di).



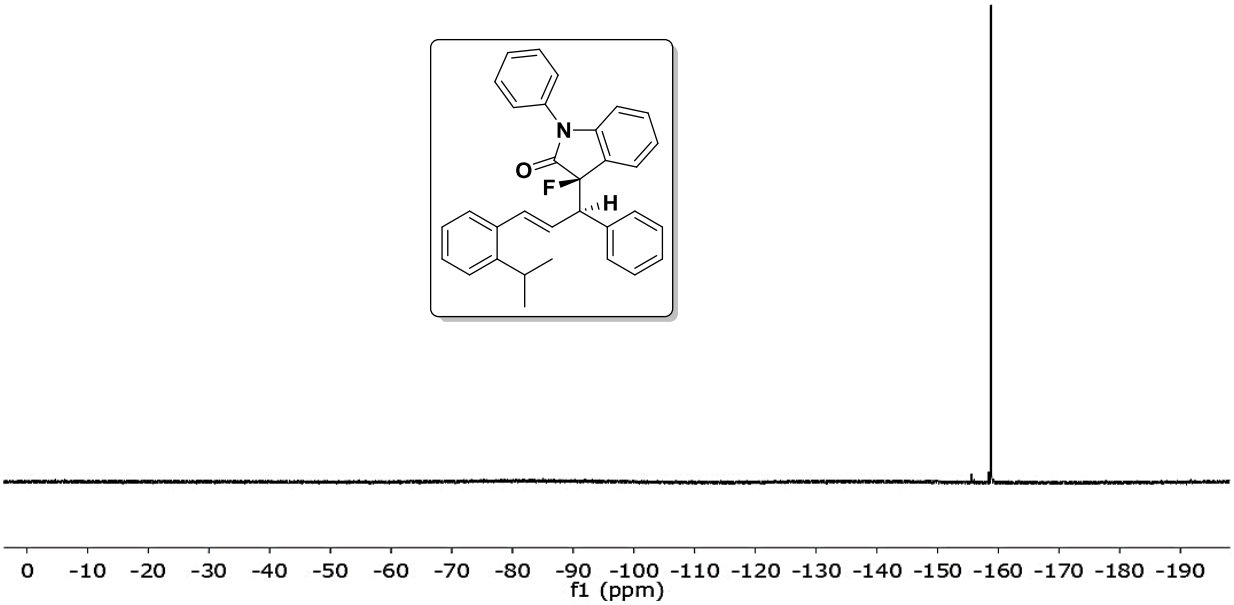
^{1}H NMR spectrum of (*R*)-3-fluoro-3-((*R*,*E*)-3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj).



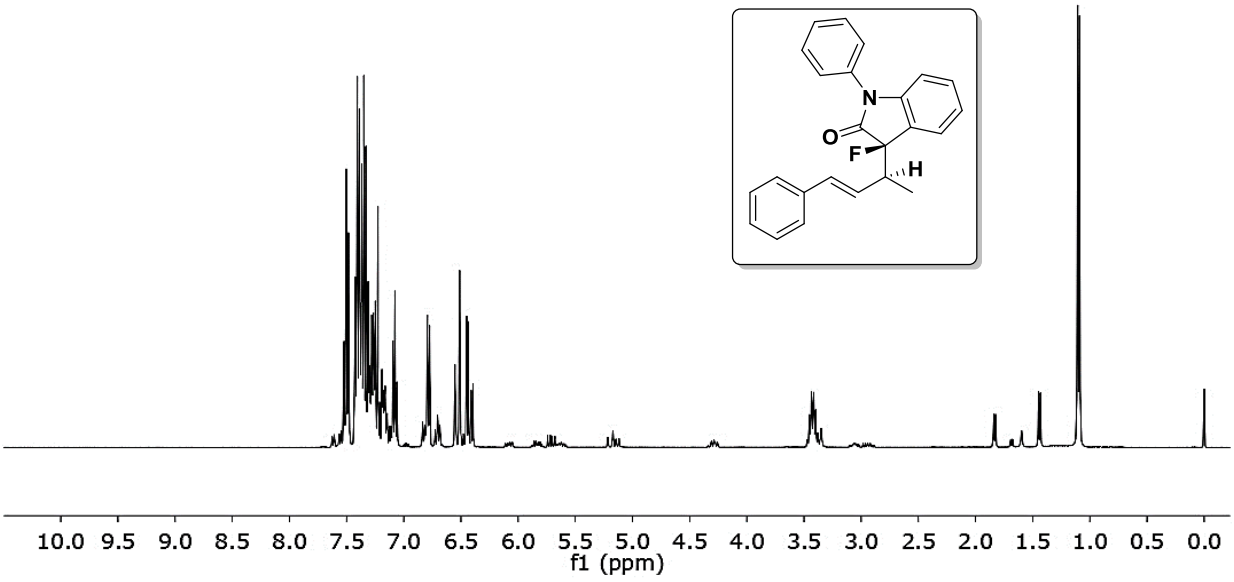
^{13}C NMR spectrum of (*R*)-3-fluoro-3-((*R*,*E*)-3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj).



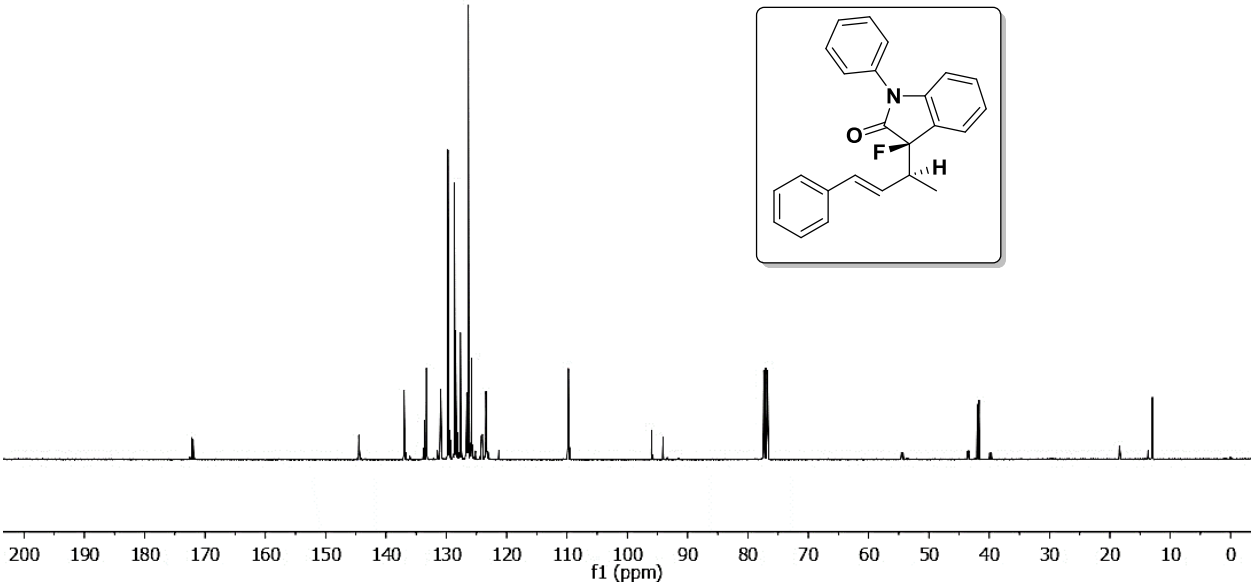
^{19}F NMR spectrum of (*R*)-3-fluoro-3-((*R*,*E*)-3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj).



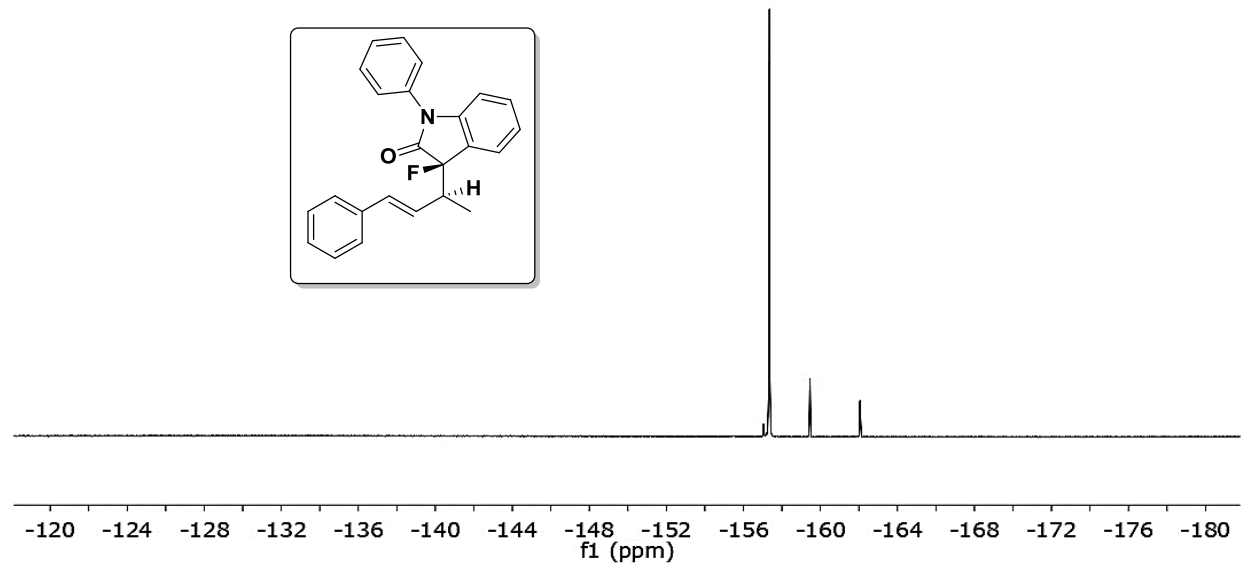
^{1}H NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



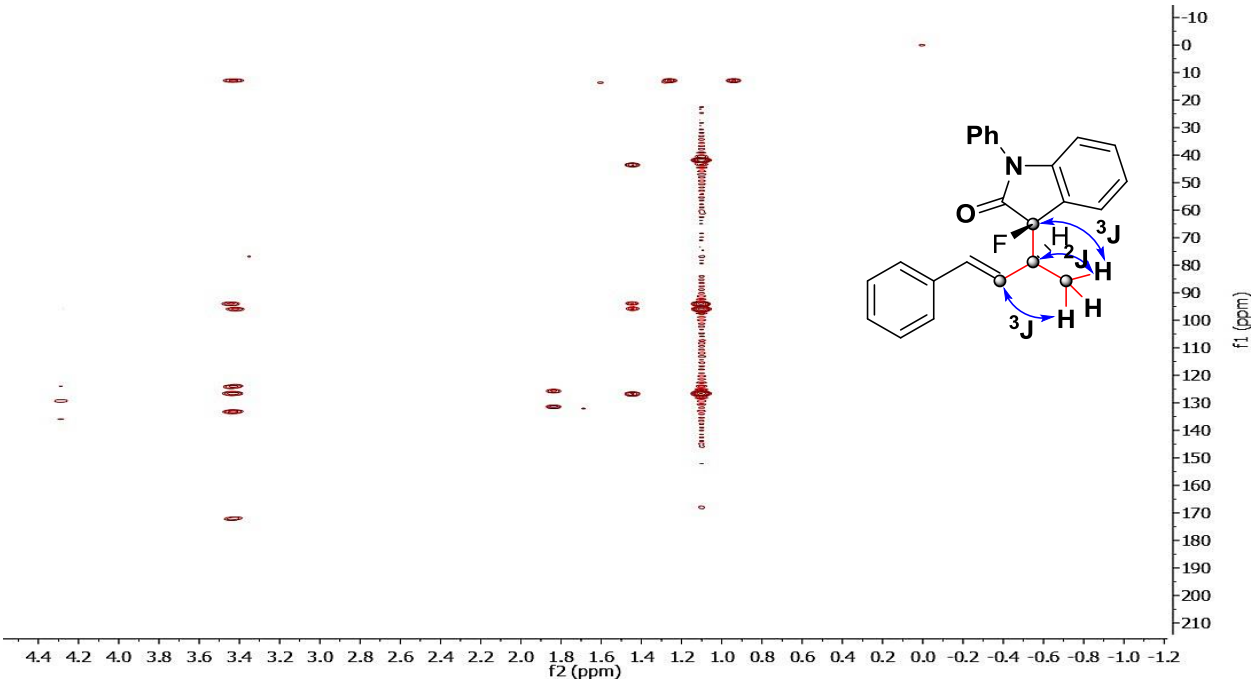
^{13}C NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



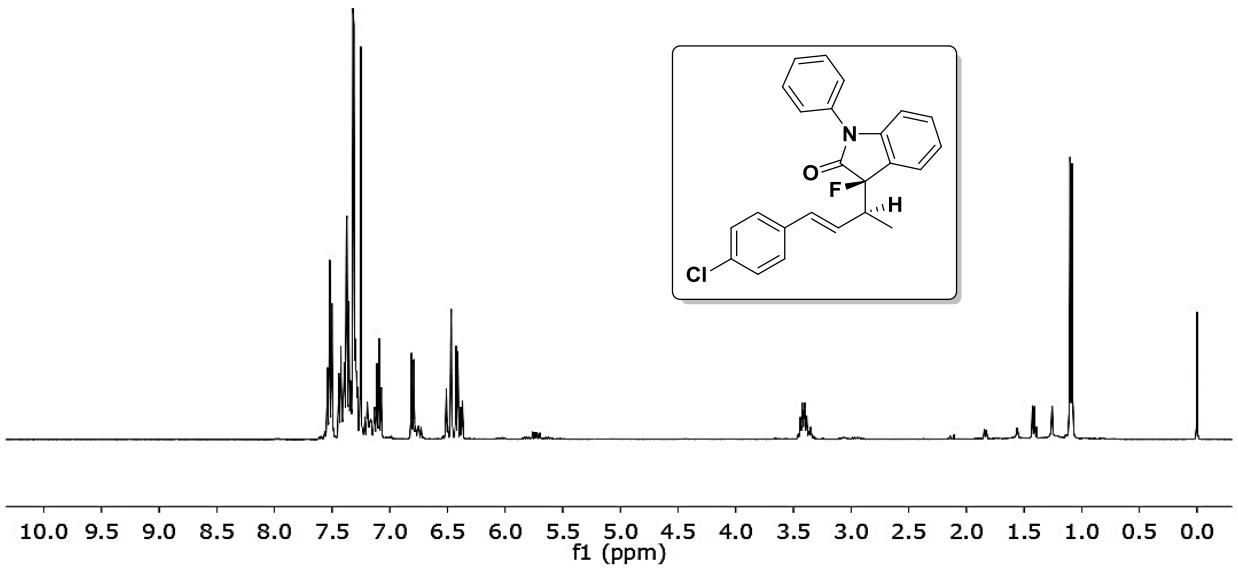
^{19}F NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



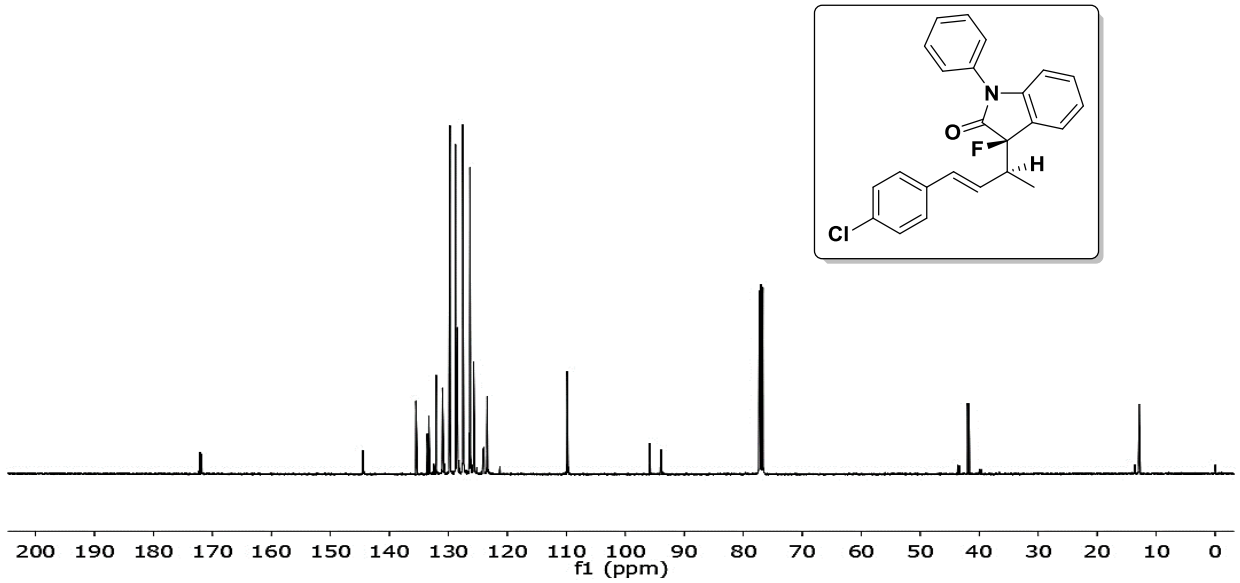
HMBC NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



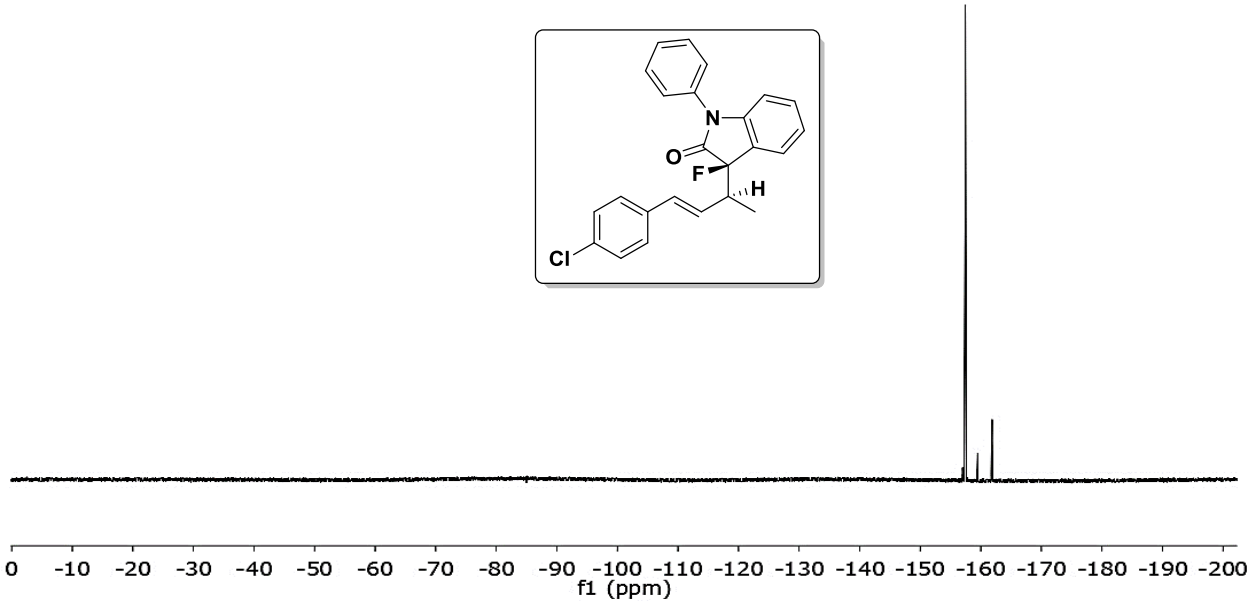
^{1}H NMR spectrum of (*R*)-3-((*S*,*E*)-4-(4-chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one (3dl).



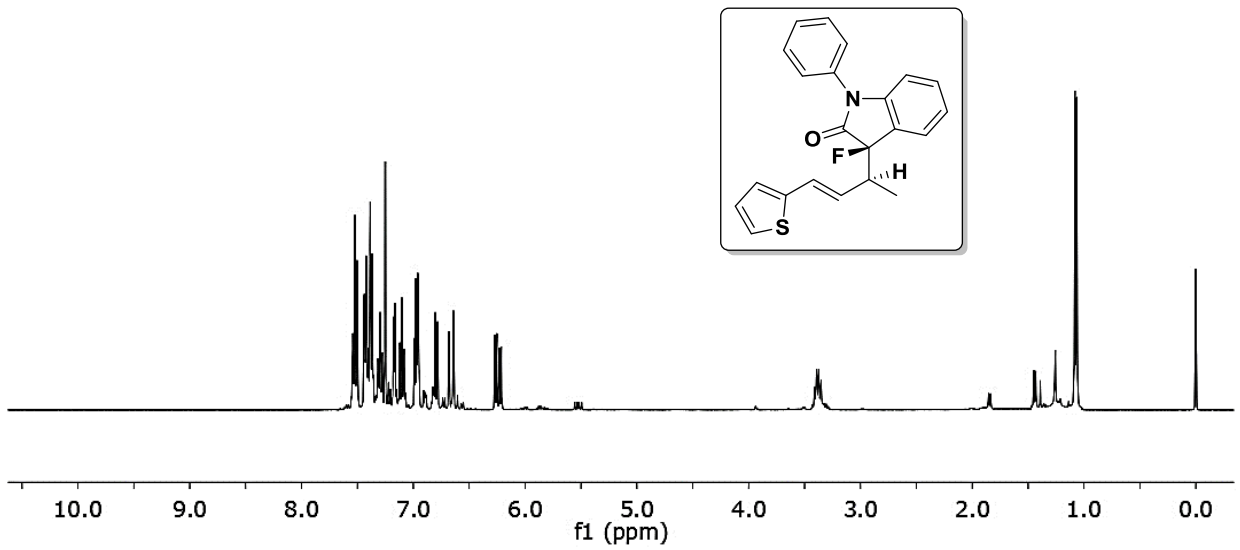
^{13}C NMR spectrum of (*R*)-3-((*S*,*E*)-4-(4-chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one (3dl).



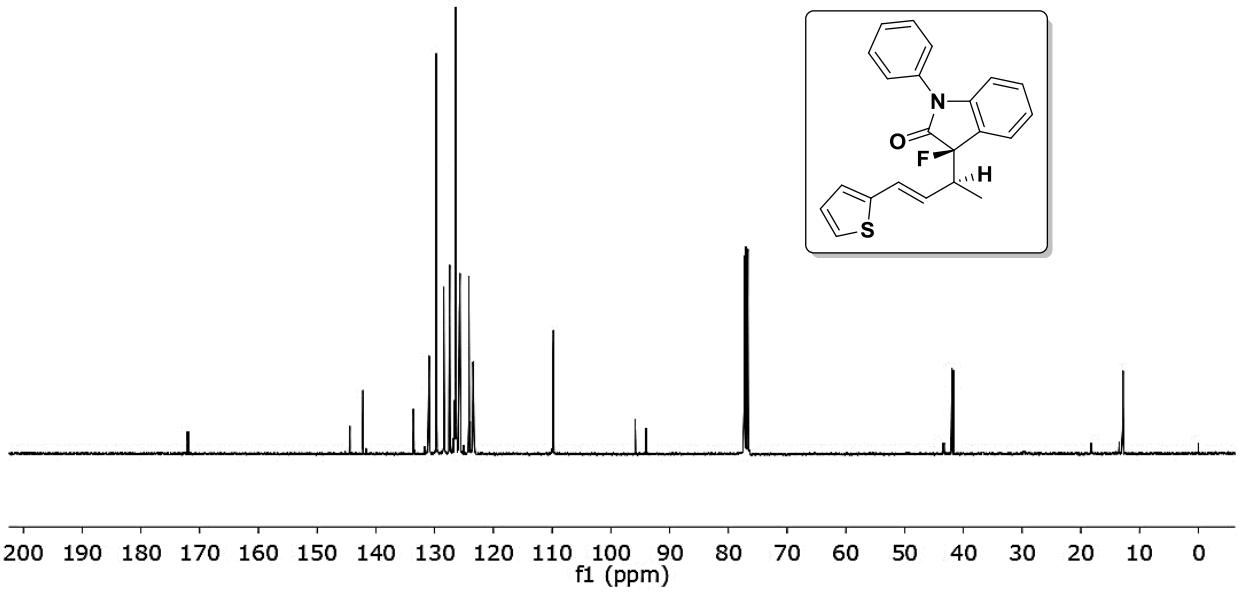
^{19}F NMR spectrum of (*R*)-3-((*S*,*E*)-4-(4-chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one (3dl).



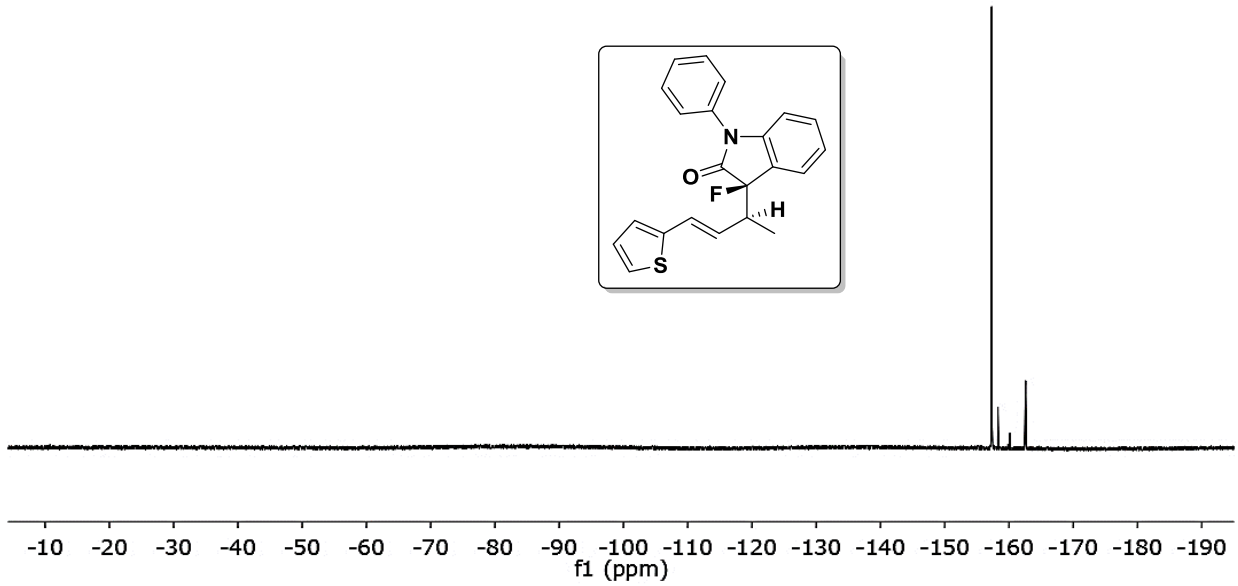
^{1}H NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm).



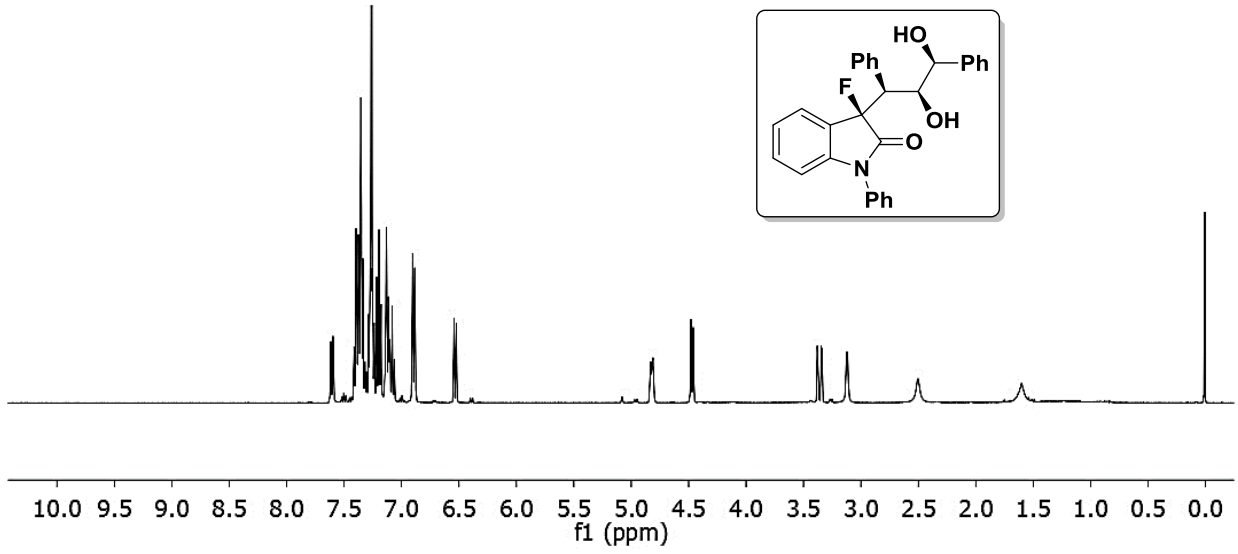
^{13}C NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm).



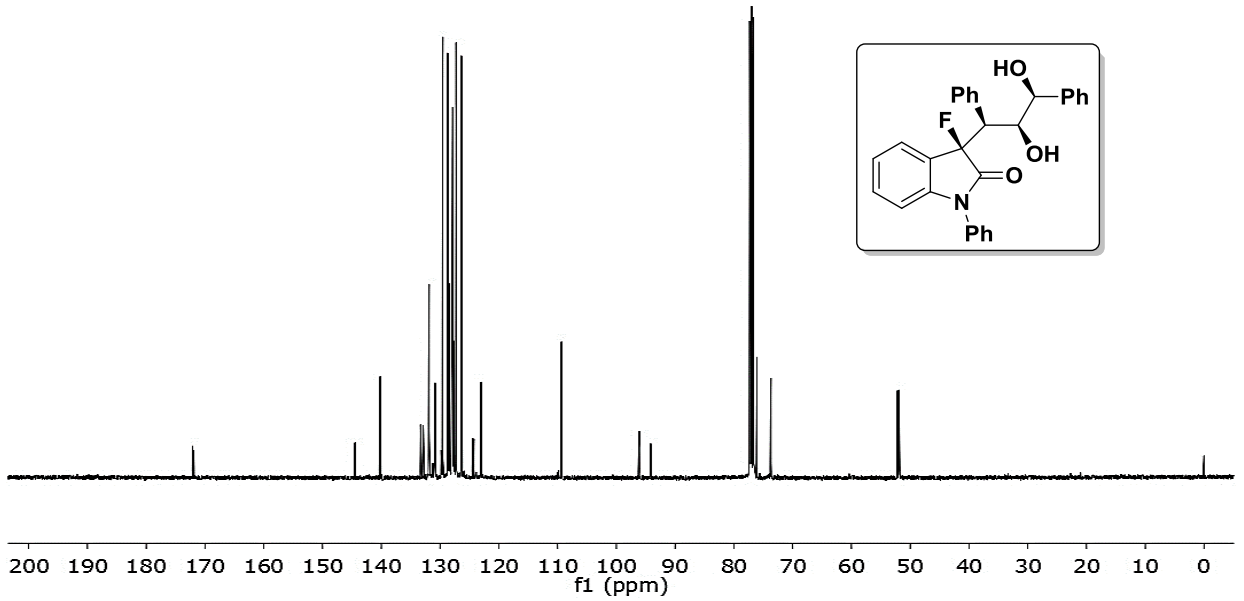
^{19}F NMR spectrum of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm).



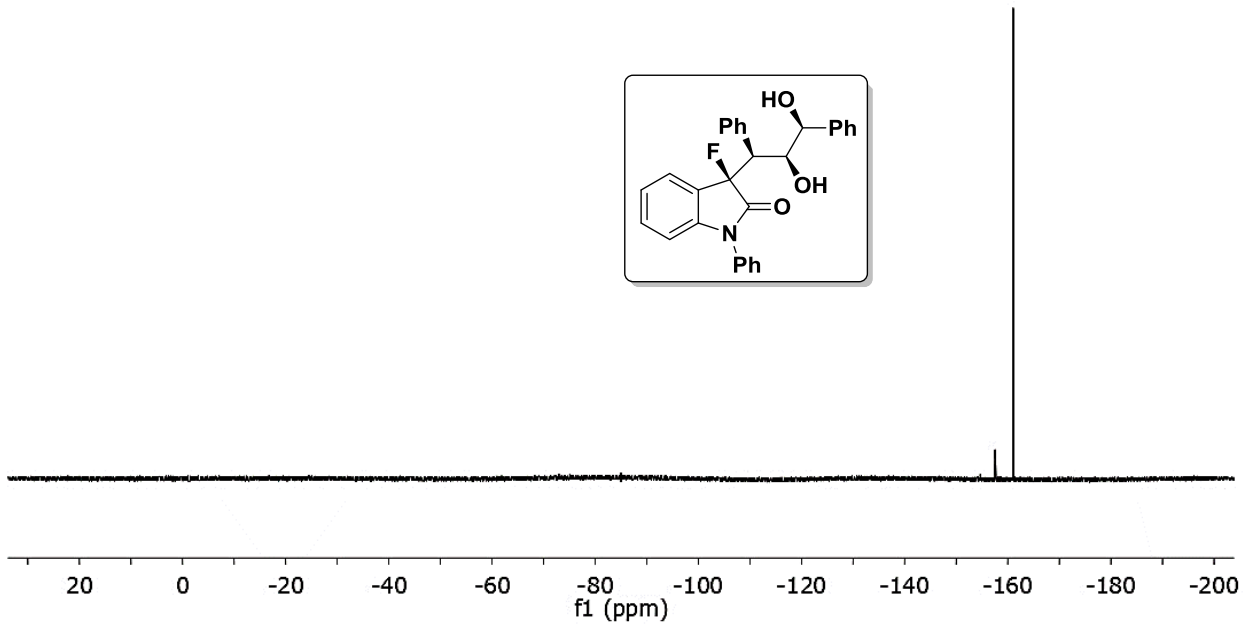
^{1}H NMR spectrum of (3*R*)-3-((1*S*)-2,3-dihydroxy-1,3-diphenylpropyl)-3-fluoro-1-phenylindolin-2-one (4a).



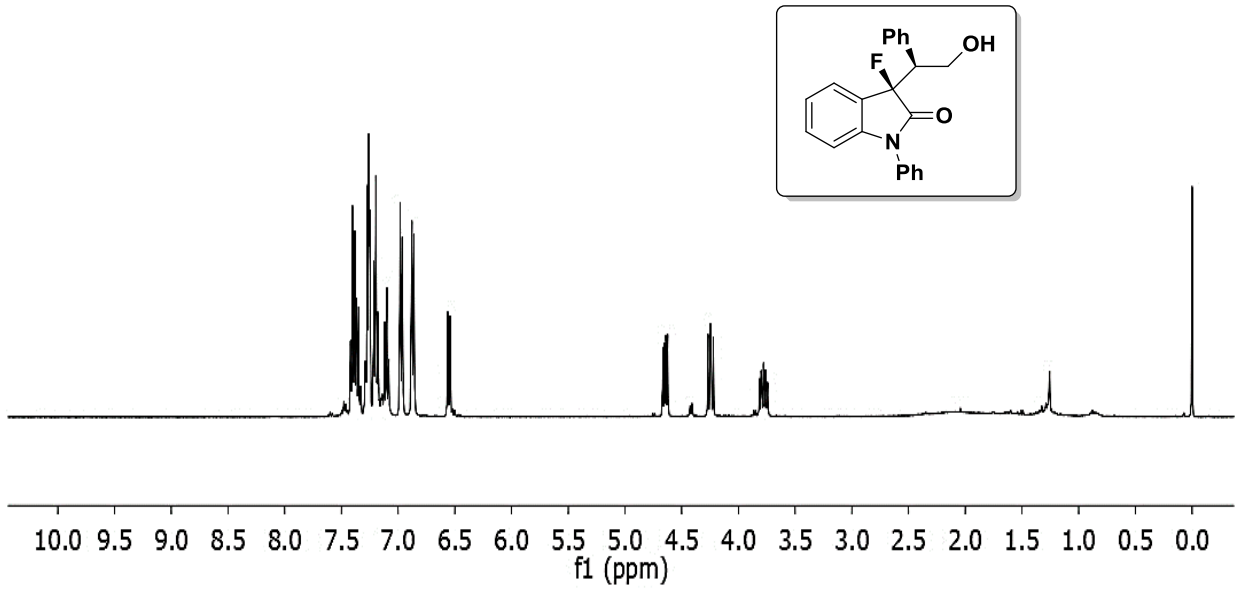
^{13}C NMR spectrum of (3*R*)-3-((1*S*)-2,3-dihydroxy-1,3-diphenylpropyl)-3-fluoro-1-phenylindolin-2-one (4a).



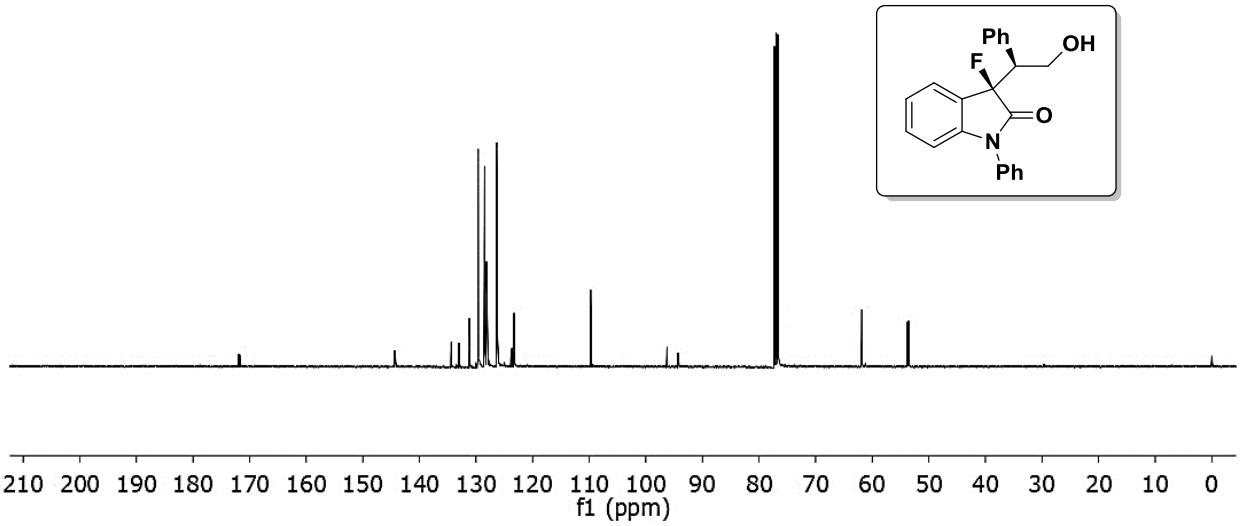
^{19}F NMR spectrum of (3*R*)-3-((1*S*)-2,3-dihydroxy-1,3-diphenylpropyl)-3-fluoro-1-phenylindolin-2-one (4a).



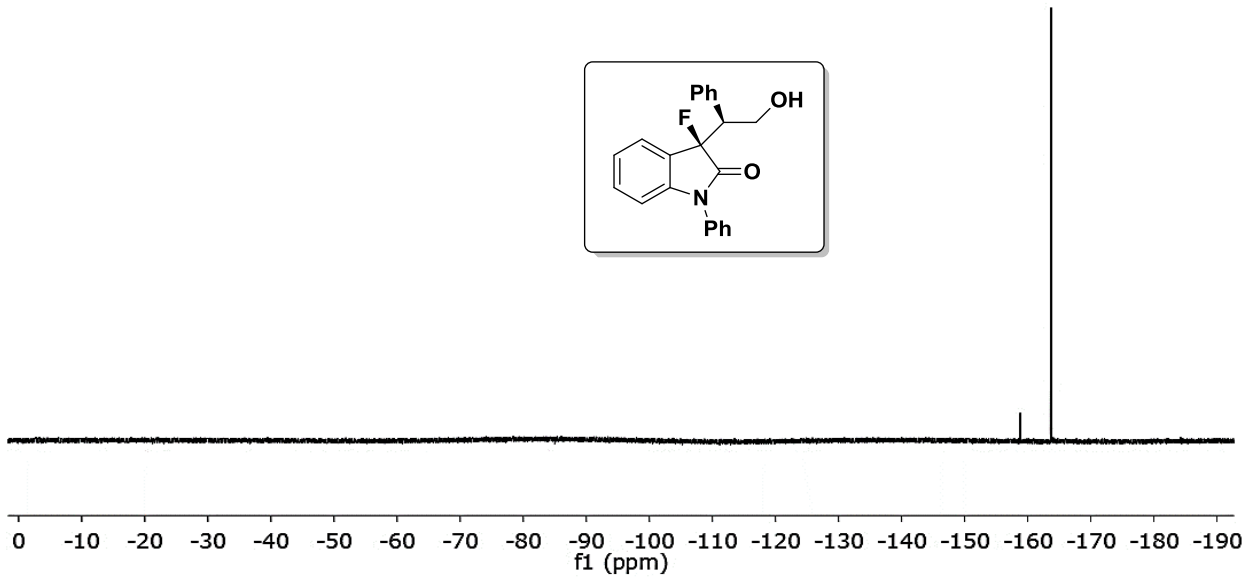
^{1}H NMR spectrum of (*R*)-3-fluoro-3-((*S*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5).



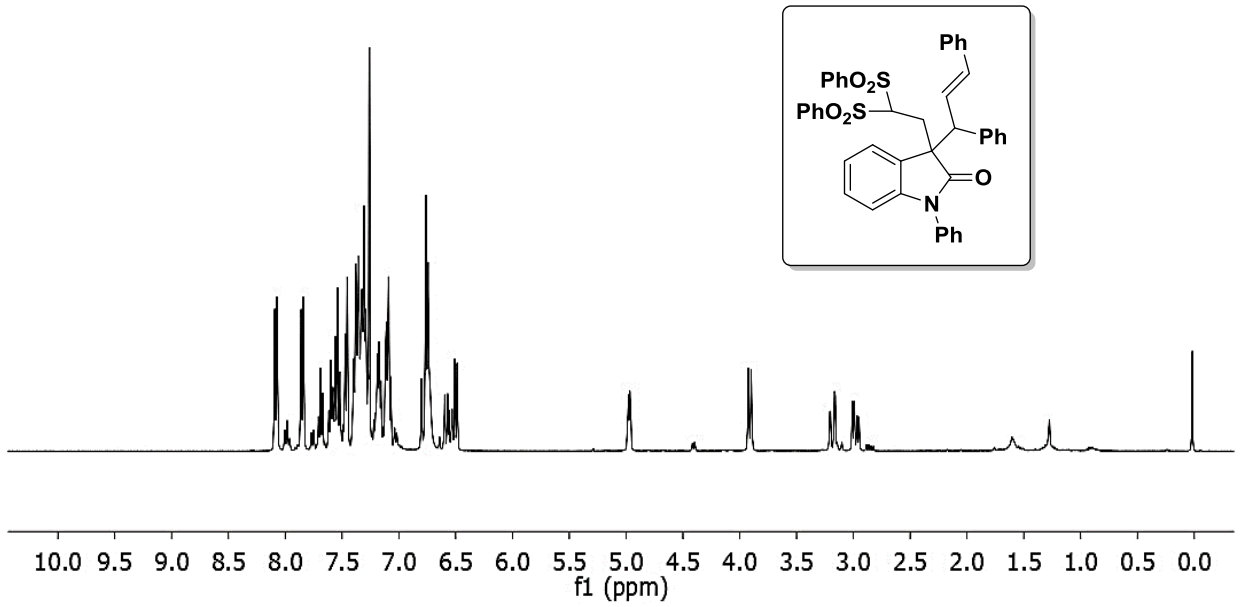
^{13}C NMR spectrum of (*R*)-3-fluoro-3-((*S*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5).



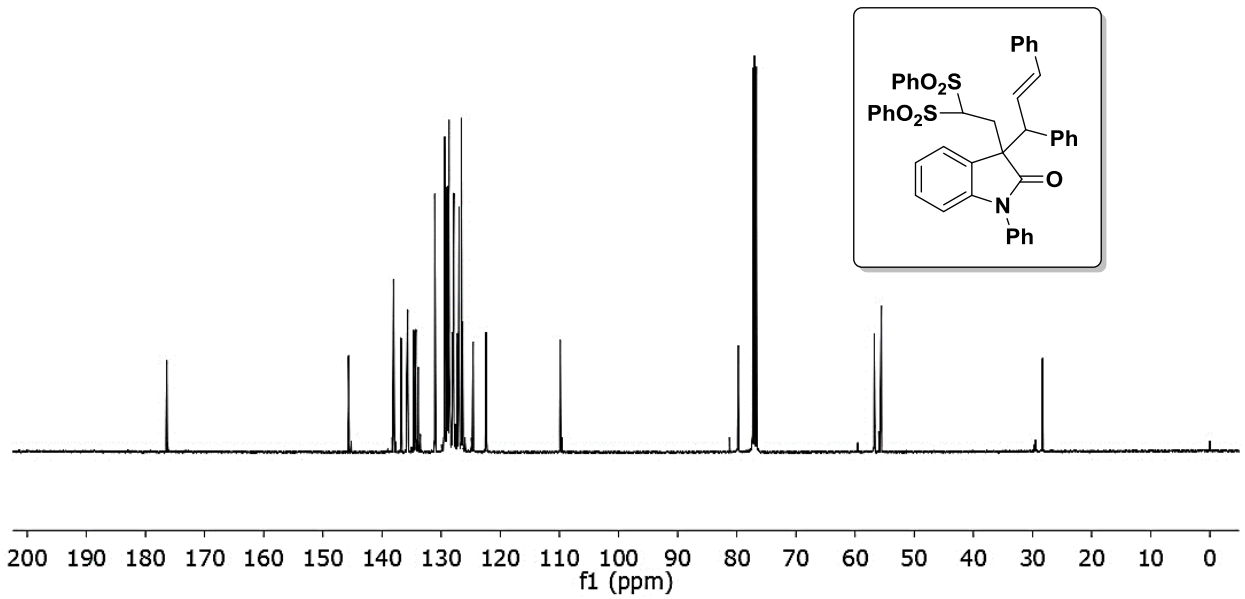
^{19}F NMR spectrum of (*R*)-3-fluoro-3-((*S*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5).



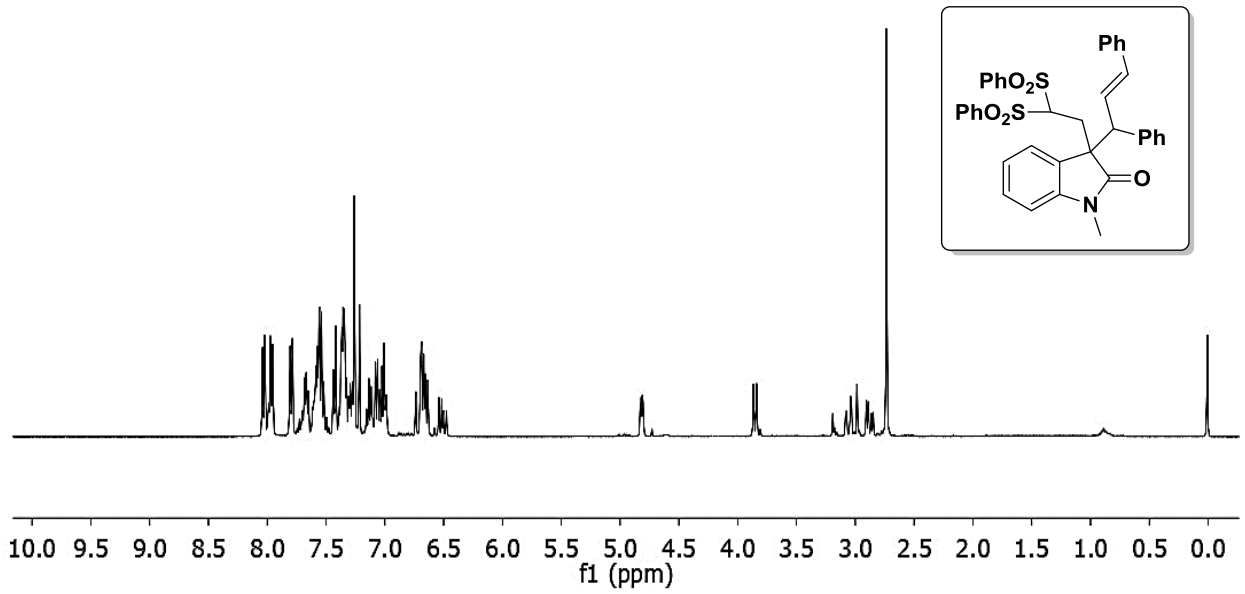
^{1}H NMR spectrum of (*E*)-3-(2,2-bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-phenylindolin-2-one (7a).



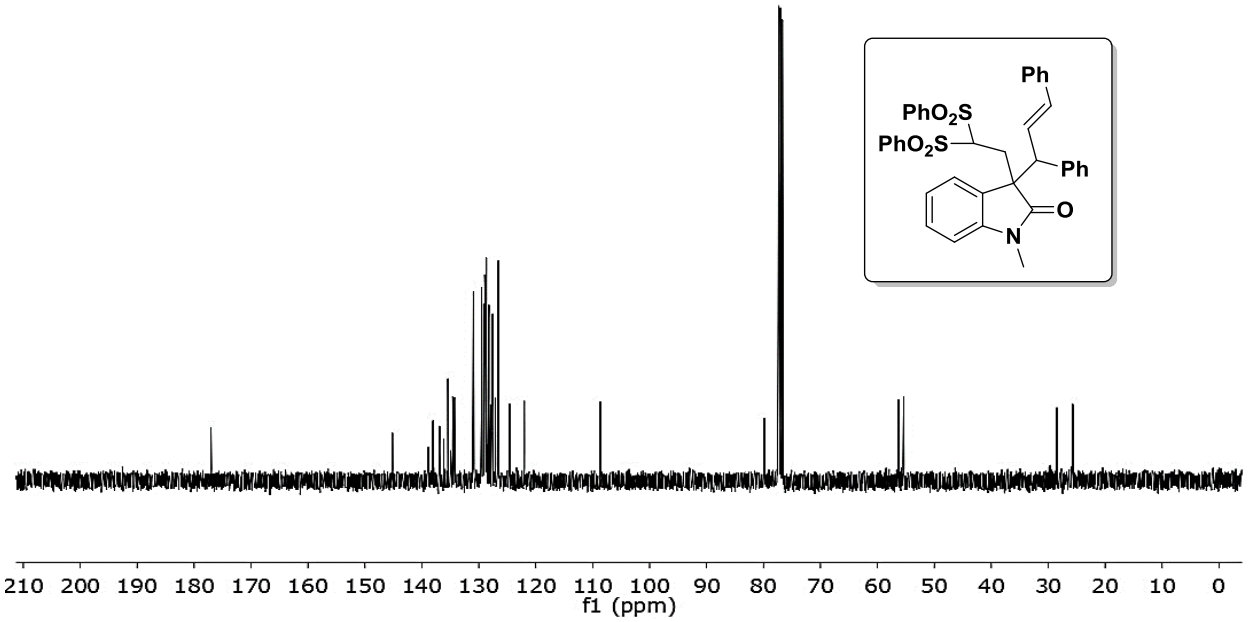
^{13}C NMR spectrum of (*E*)-3-(2,2-bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-phenylindolin-2-one (7a).



^{1}H NMR spectrum of (*E*)-3-(2,2-bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-methylindolin-2-one (7b).

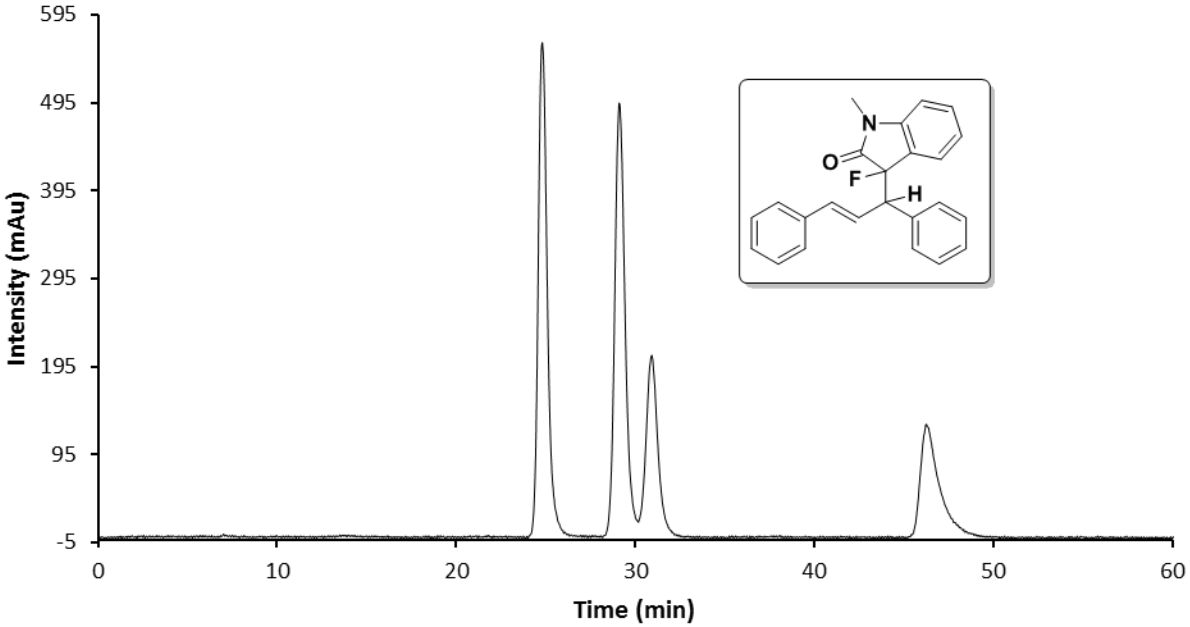


^{13}C NMR spectrum of (*E*)-3-(2,2-bis(phenylsulfonyl)ethyl)-3-(1,3-diphenylallyl)-1-methylindolin-2-one (7b).

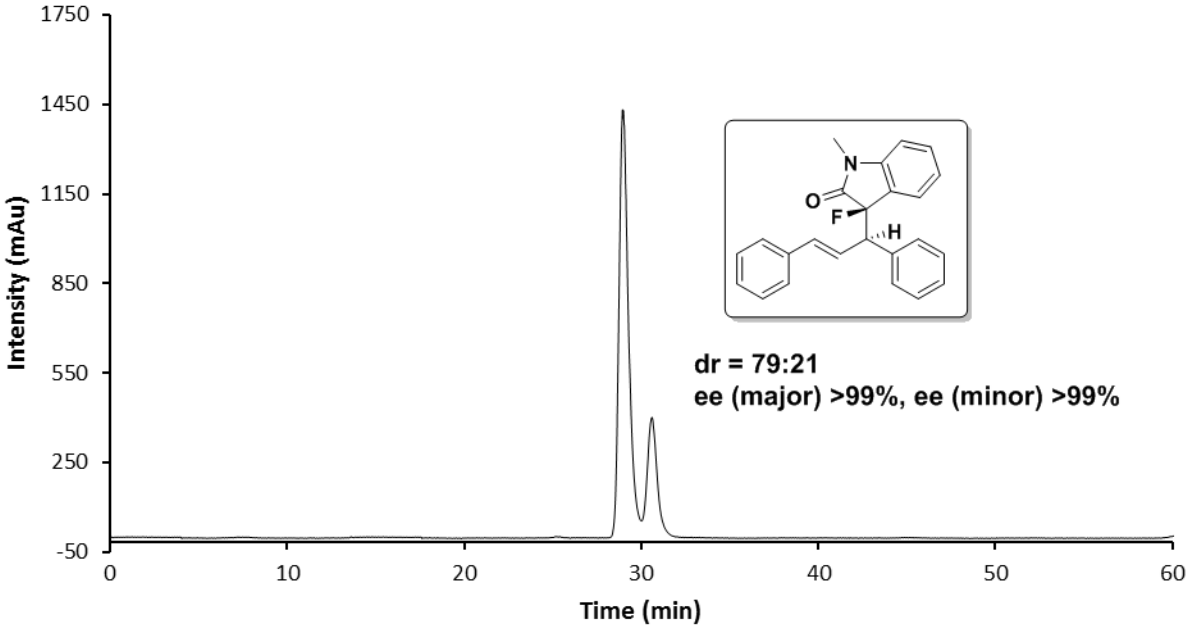


5. HPLC Chromatograms

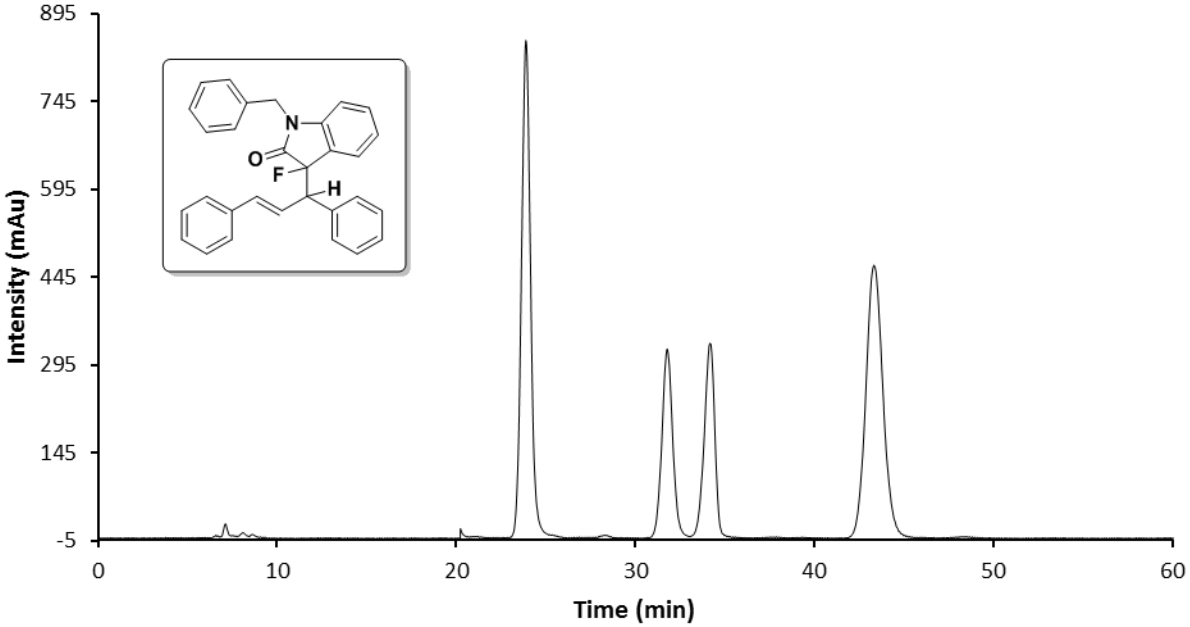
HPLC chromatogram of *racemic* (*E*)-3-(1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa).



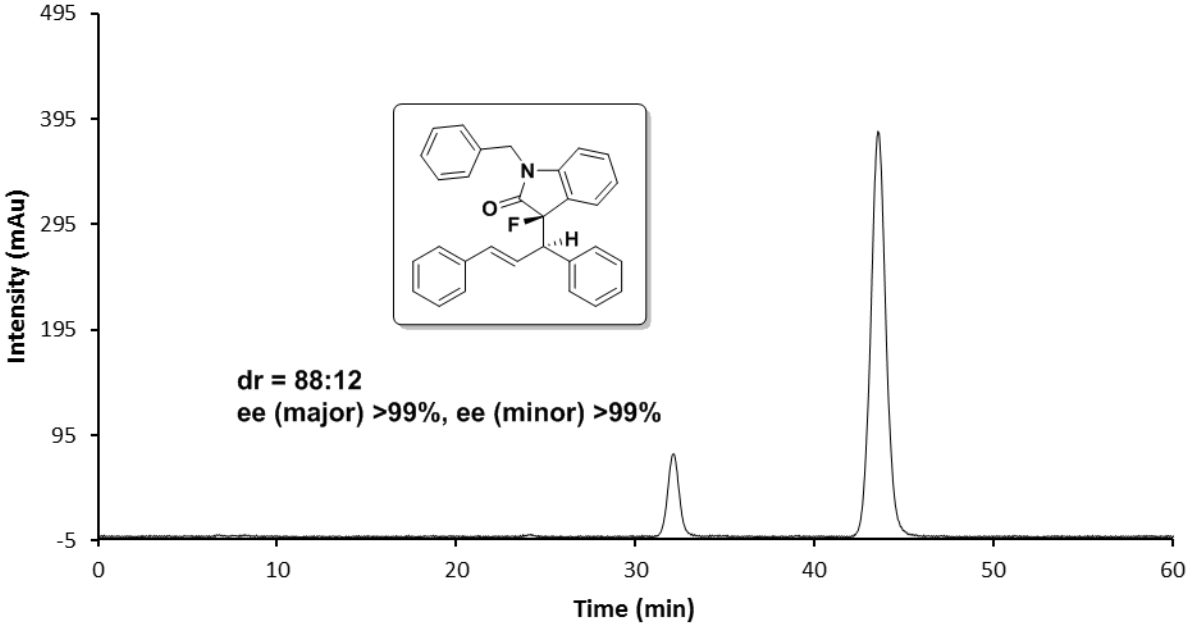
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-methylindolin-2-one (3aa).



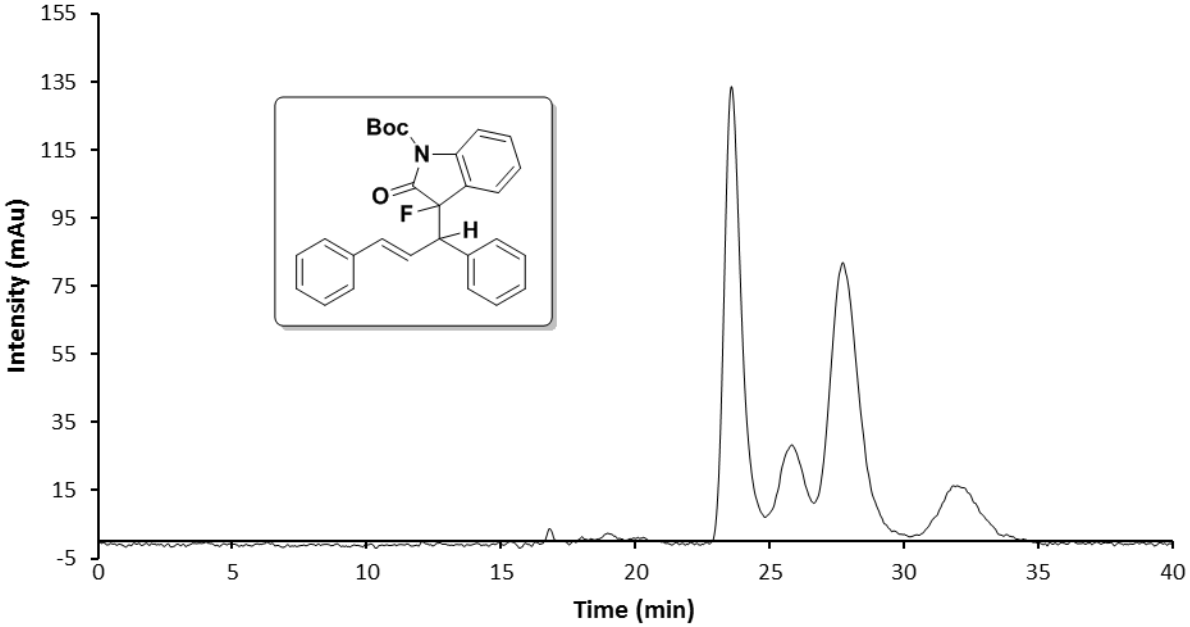
HPLC chromatogram of *racemic* (*E*)-1-benzyl-3-(1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba).



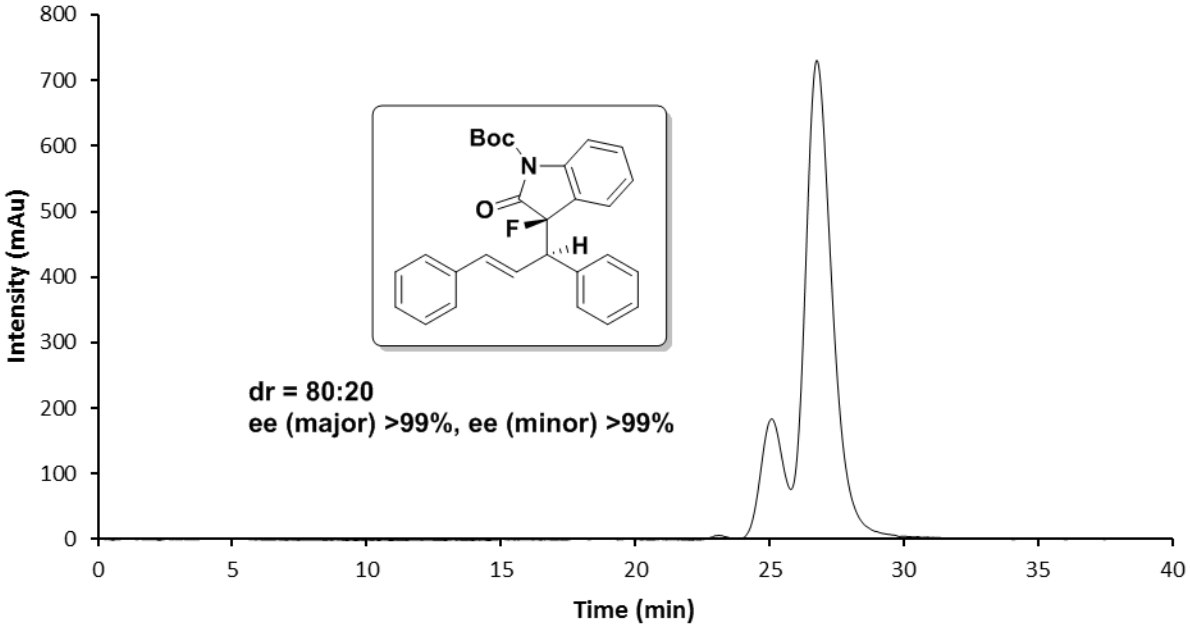
HPLC chromatogram of (*R*)-1-benzyl-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3ba).



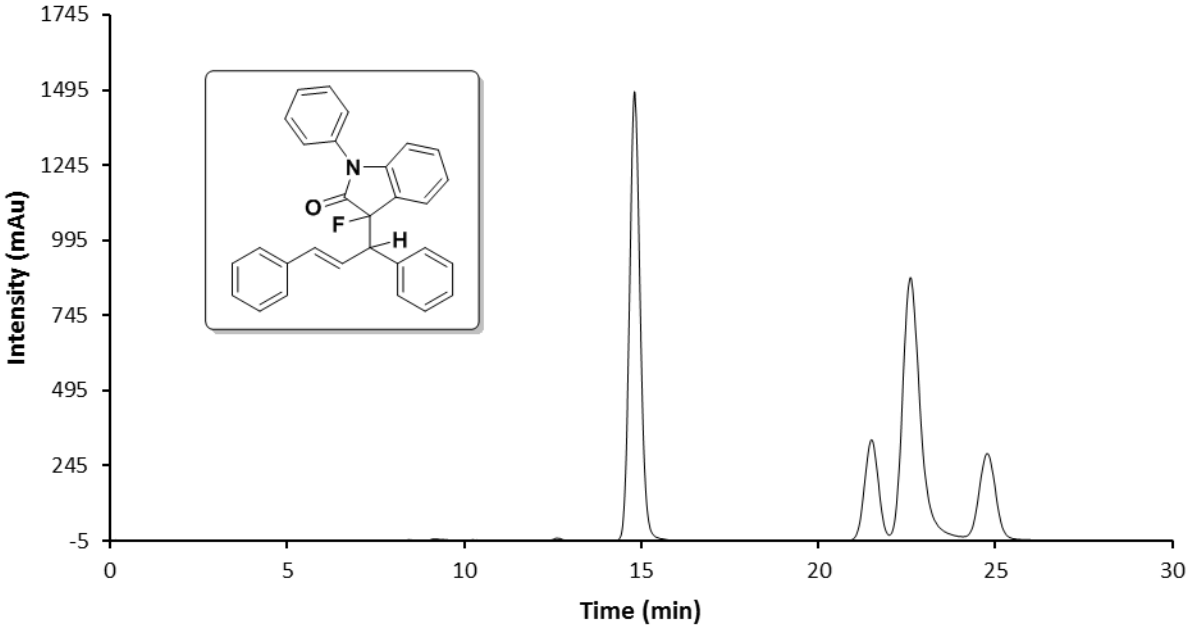
HPLC chromatogram of *racemic-tert*-butyl (*E*)-3-(1,3-diphenylallyl)-3-fluoro-2-oxoindoline-1-carboxylate (3ca).



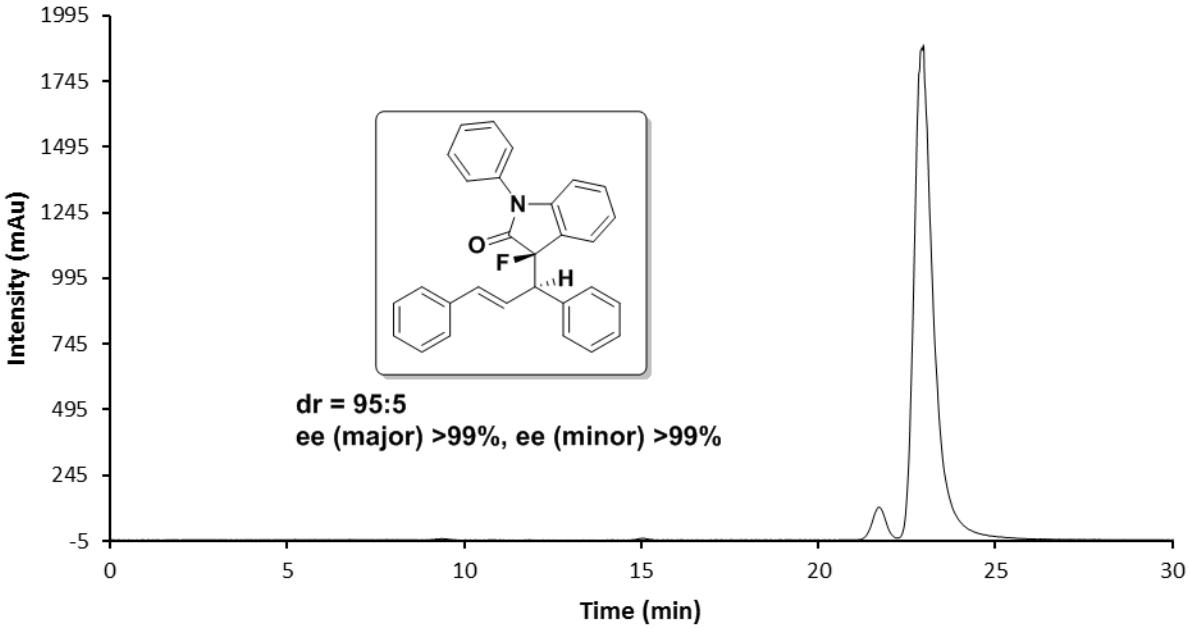
HPLC chromatogram of *tert*-butyl (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-2-oxoindoline-1-carboxylate (3ca).



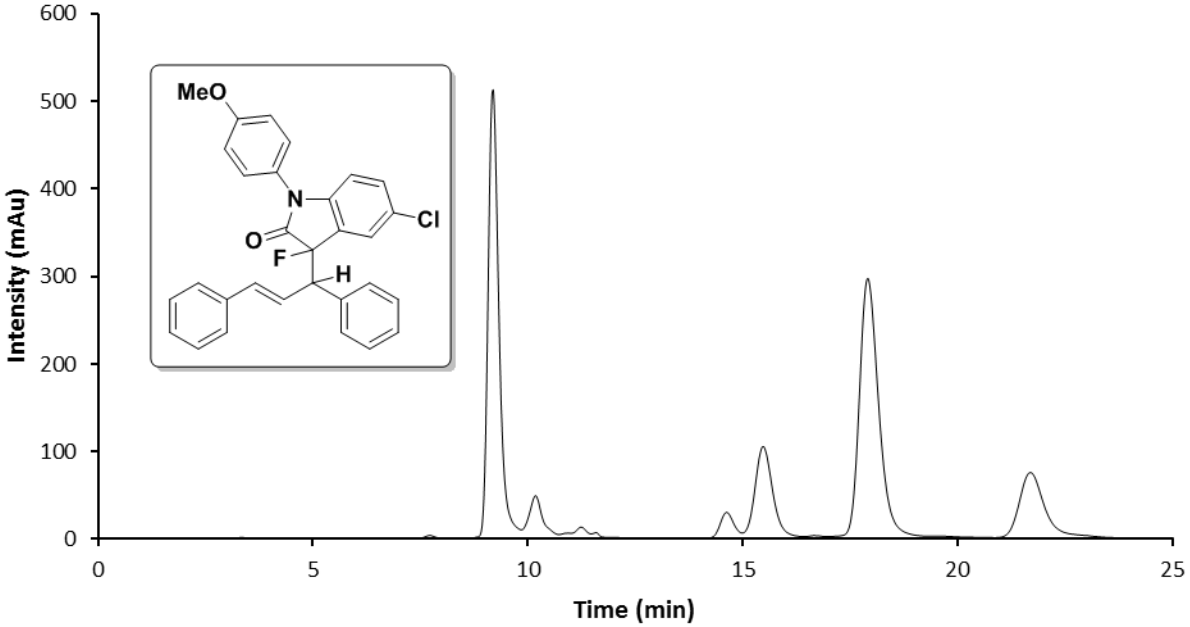
HPLC chromatogram of *racemic* (*E*)-3-(1,3-diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da).



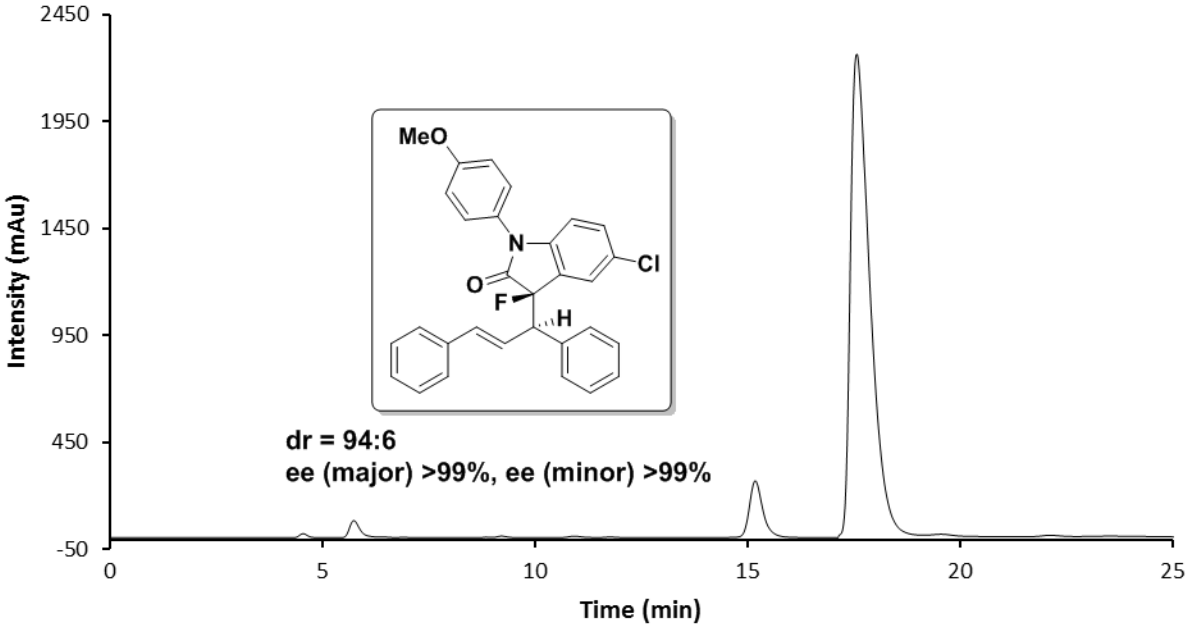
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-phenylindolin-2-one (3da).



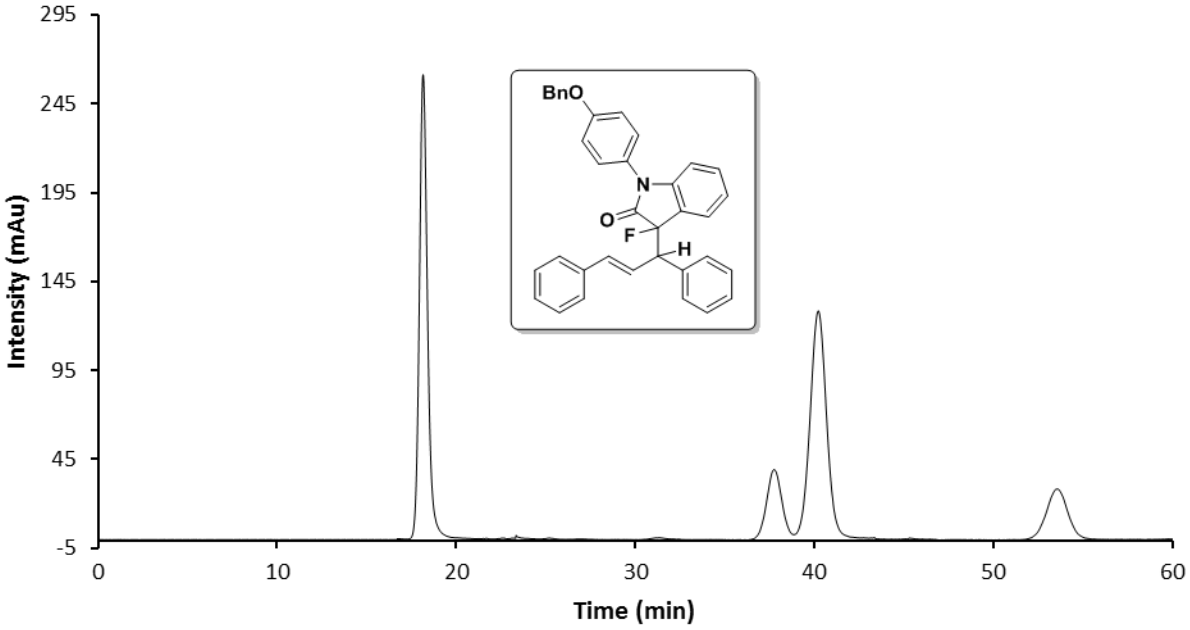
HPLC chromatogram of *racemic*-(*E*)-5-chloro-3-(1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea).



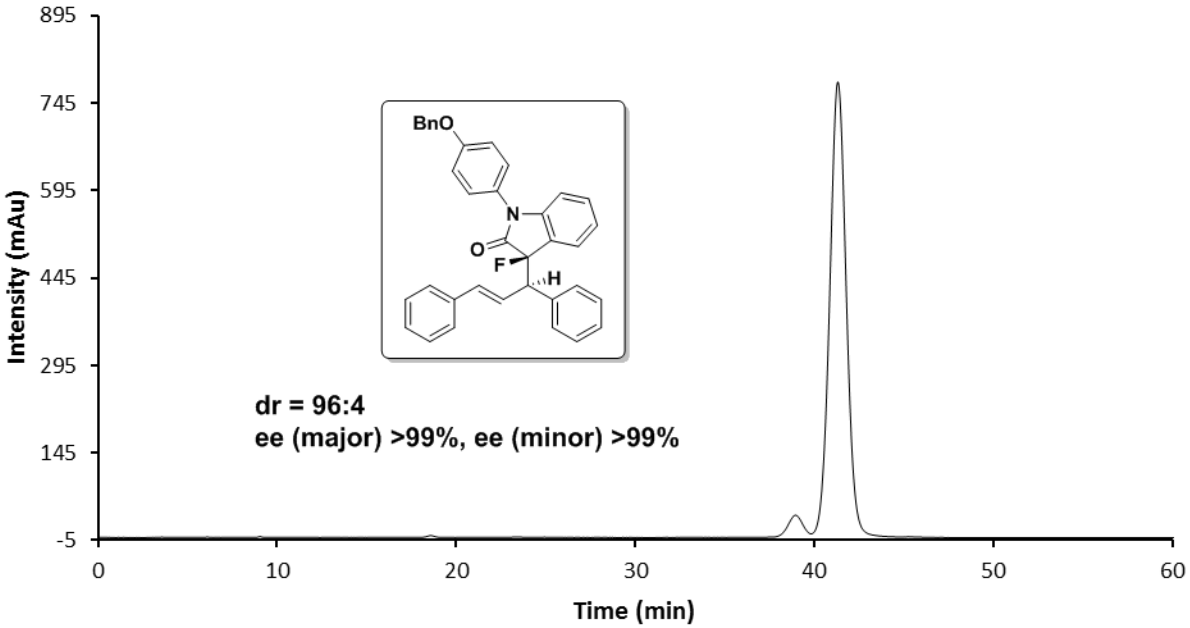
HPLC chromatogram of (*R*)-5-chloro-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoro-1-(4-methoxyphenyl)indolin-2-one (3ea).



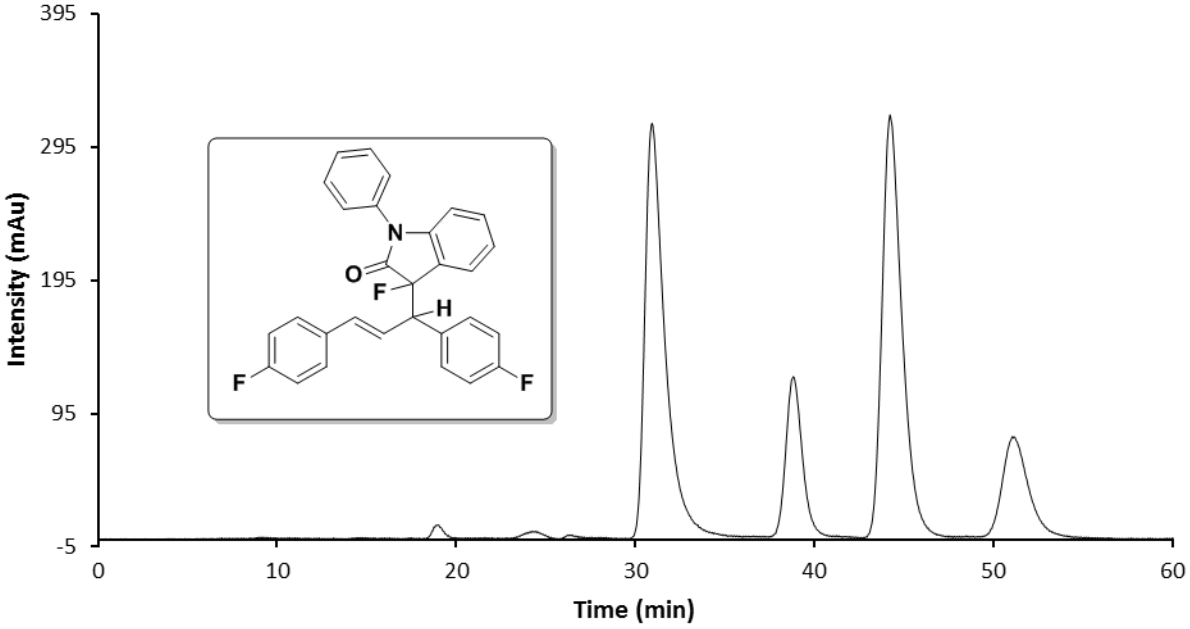
HPLC chromatogram of *racemic* (*E*)-1-(4-(benzyloxy)phenyl)-3-(1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa).



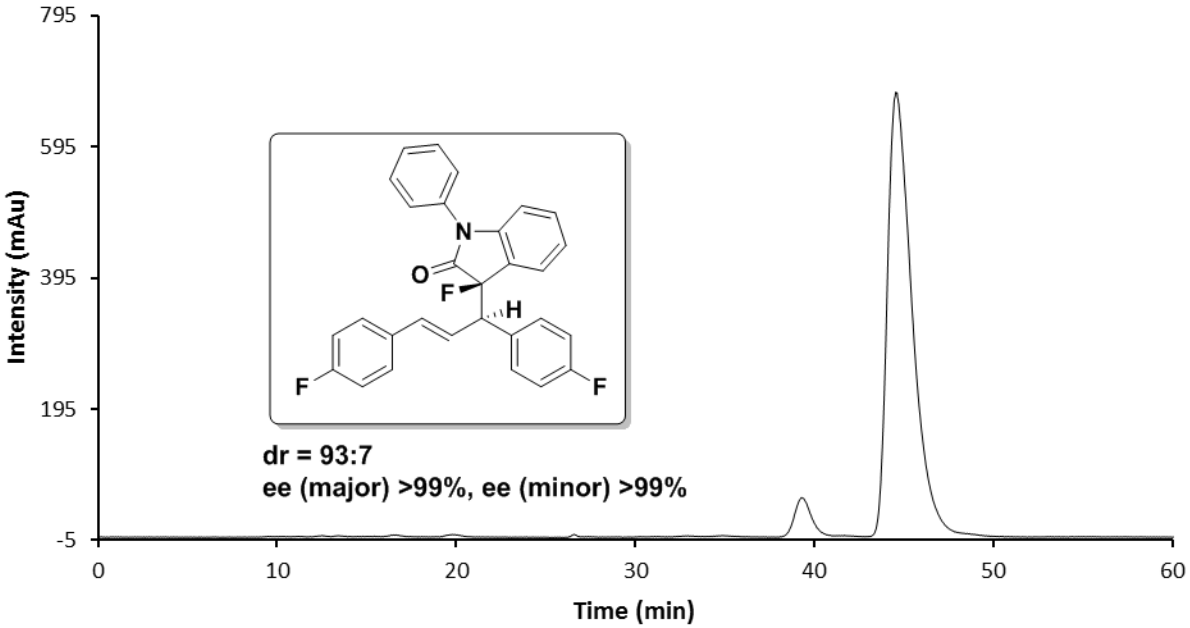
HPLC chromatogram of (*R*)-1-(4-(benzyloxy)phenyl)-3-((*R*,*E*)-1,3-diphenylallyl)-3-fluoroindolin-2-one (3fa).



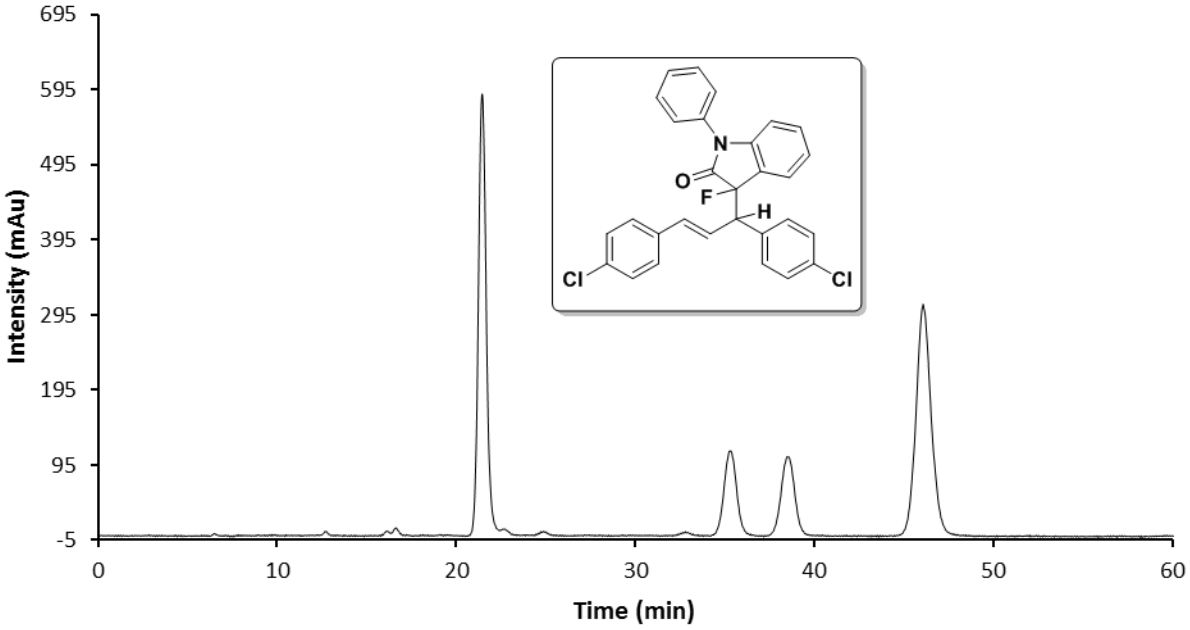
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db).



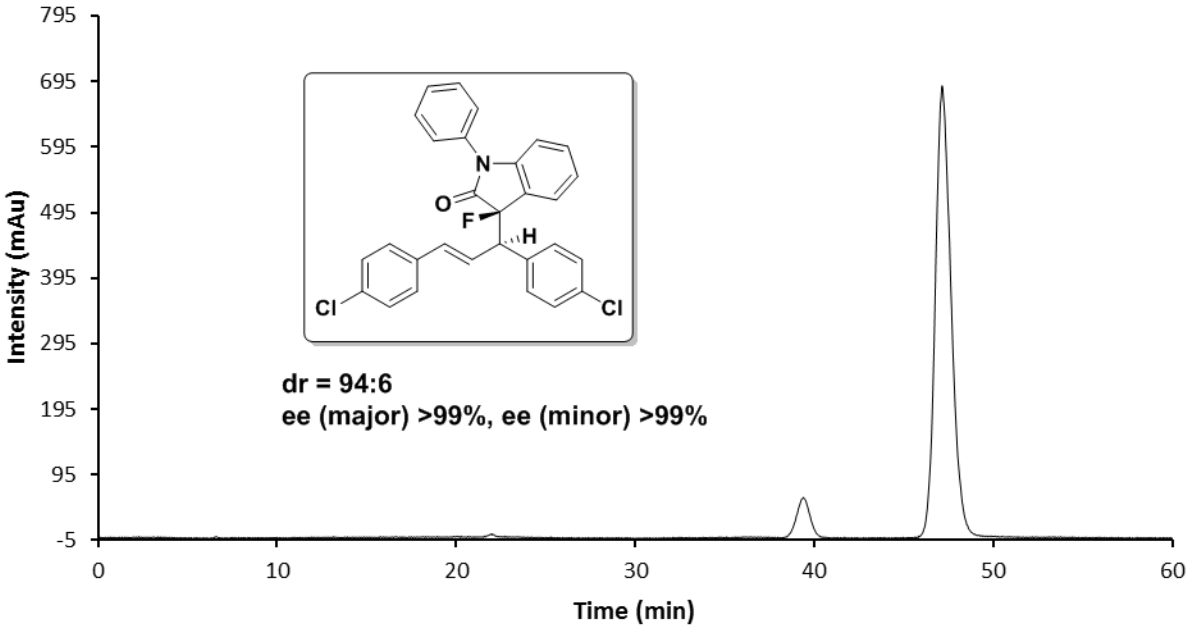
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(4-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3db).



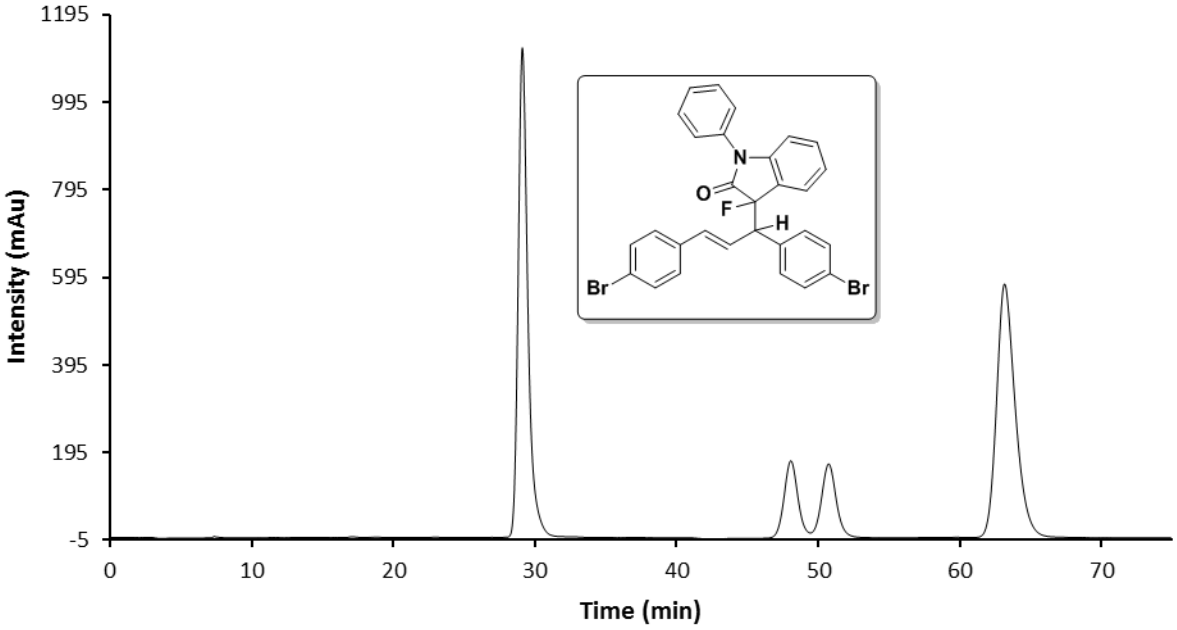
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc).



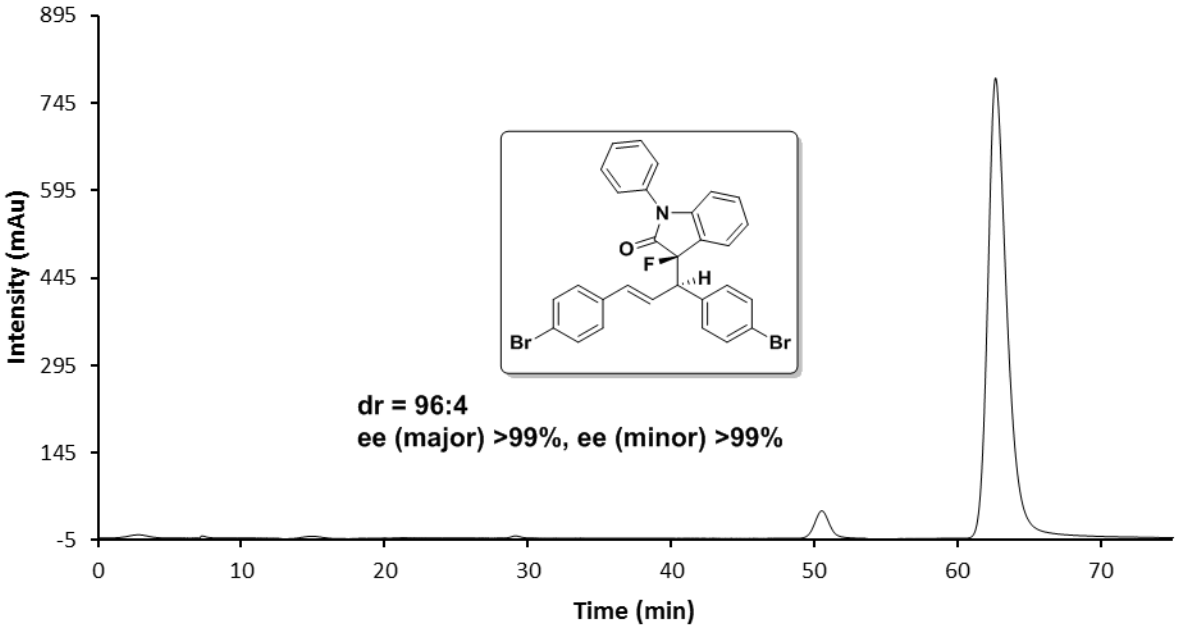
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc).



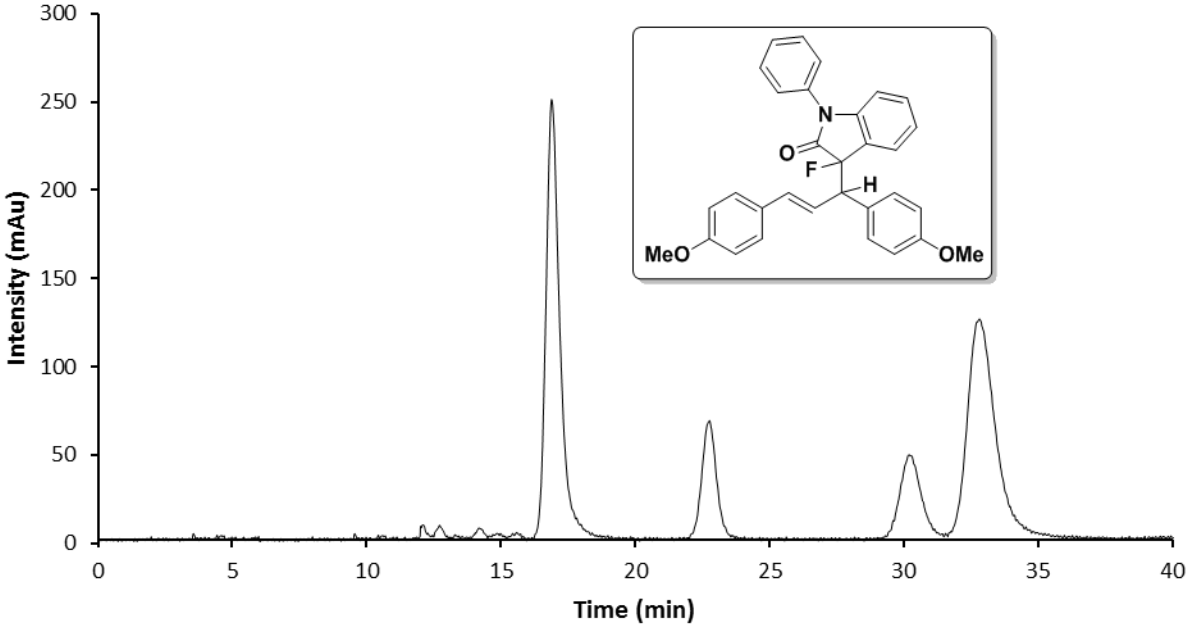
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd).



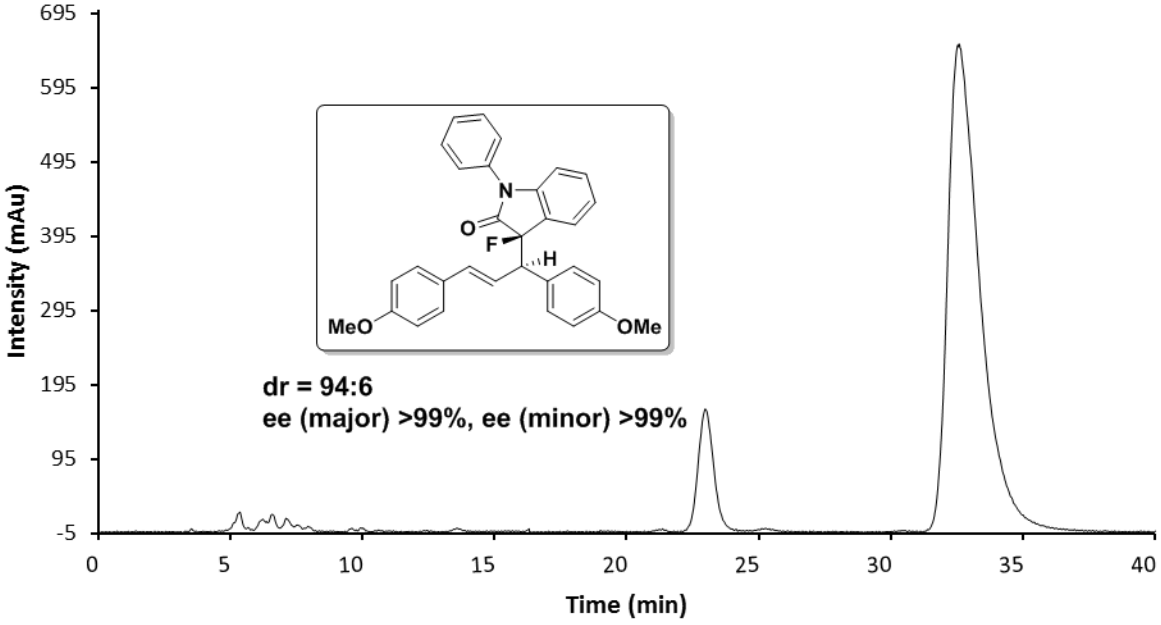
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(4-bromophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dd).



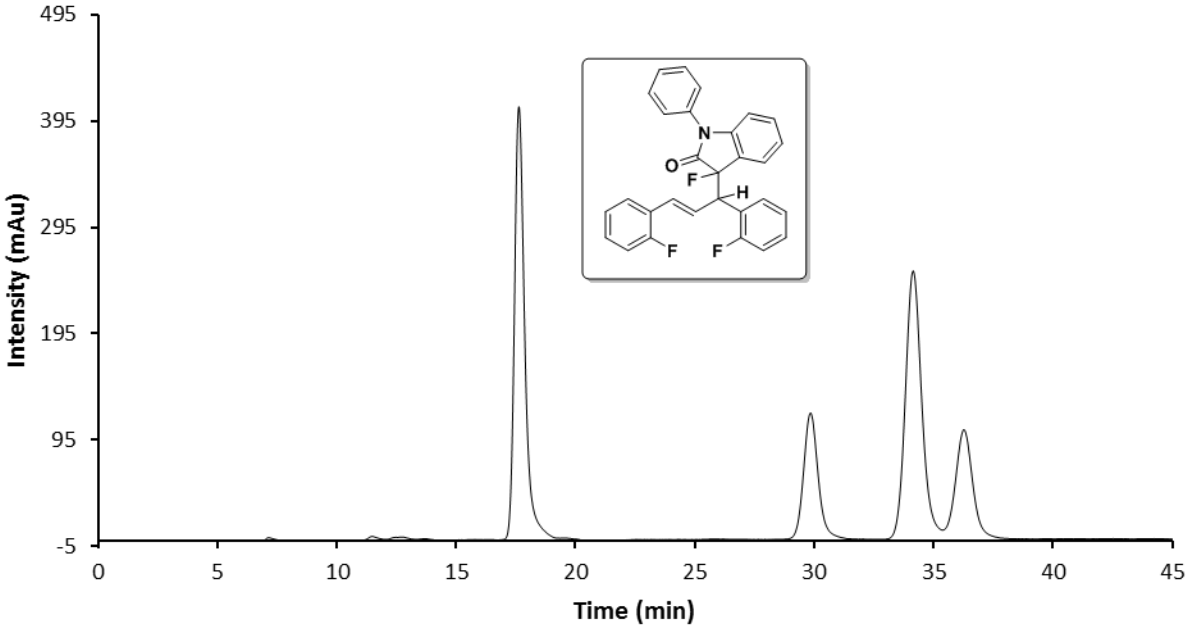
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de).



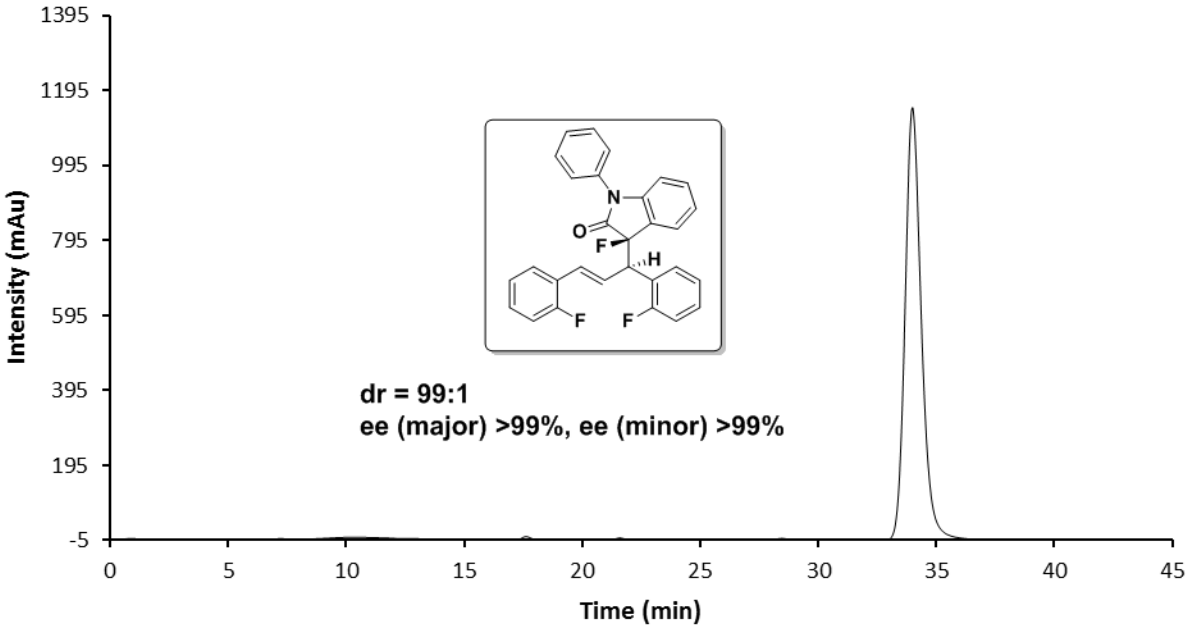
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(4-methoxyphenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3de).



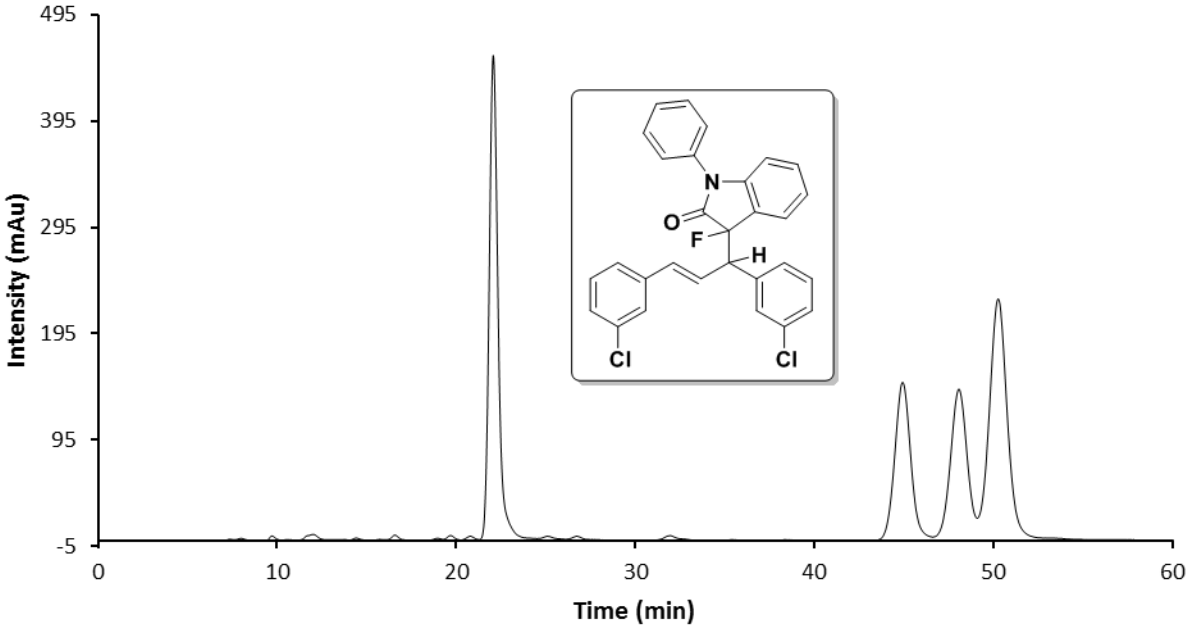
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df).



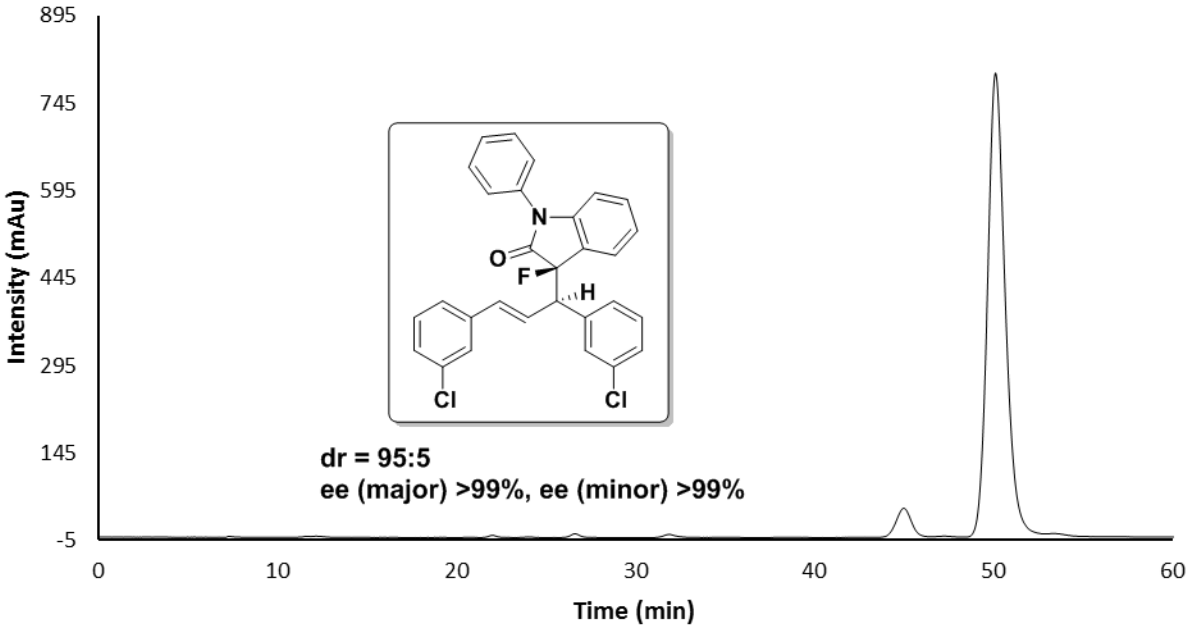
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(2-fluorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3df).



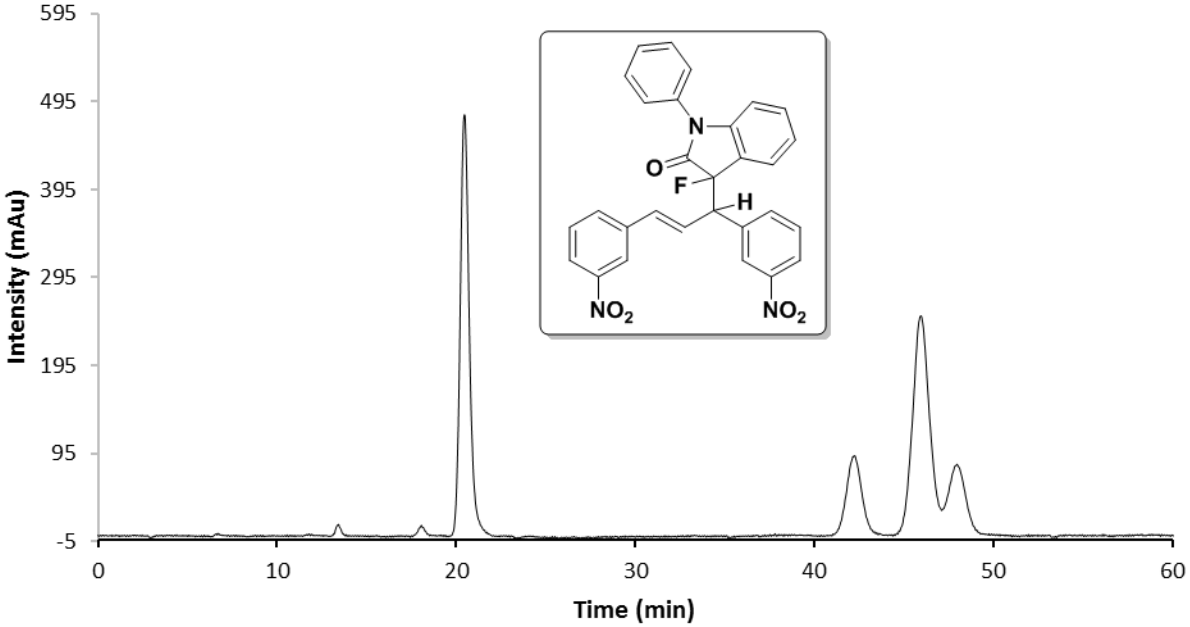
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg).



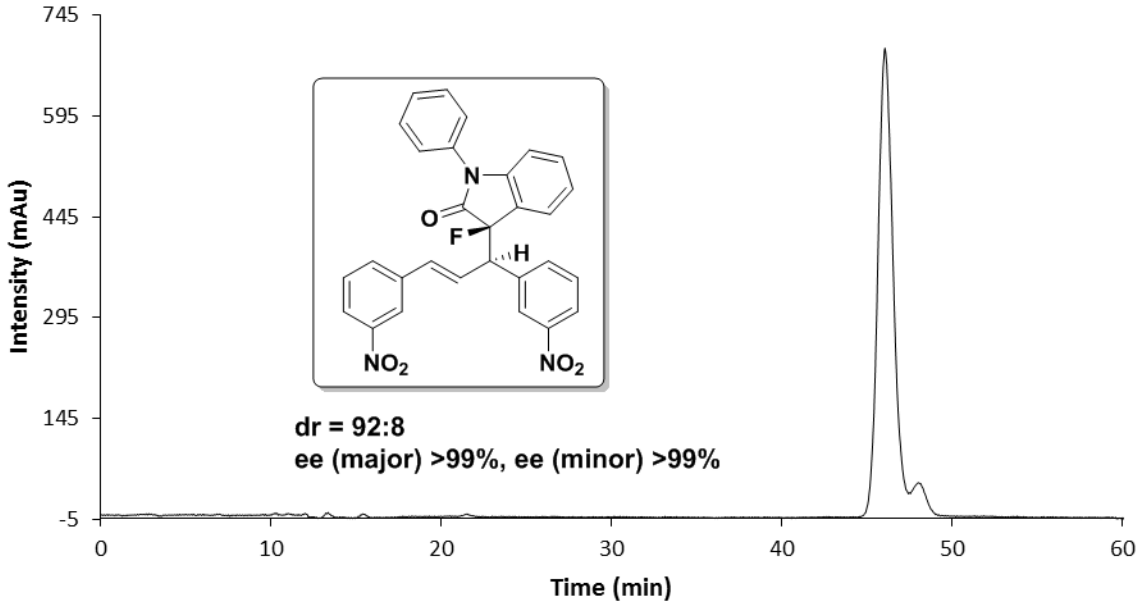
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(3-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dg).



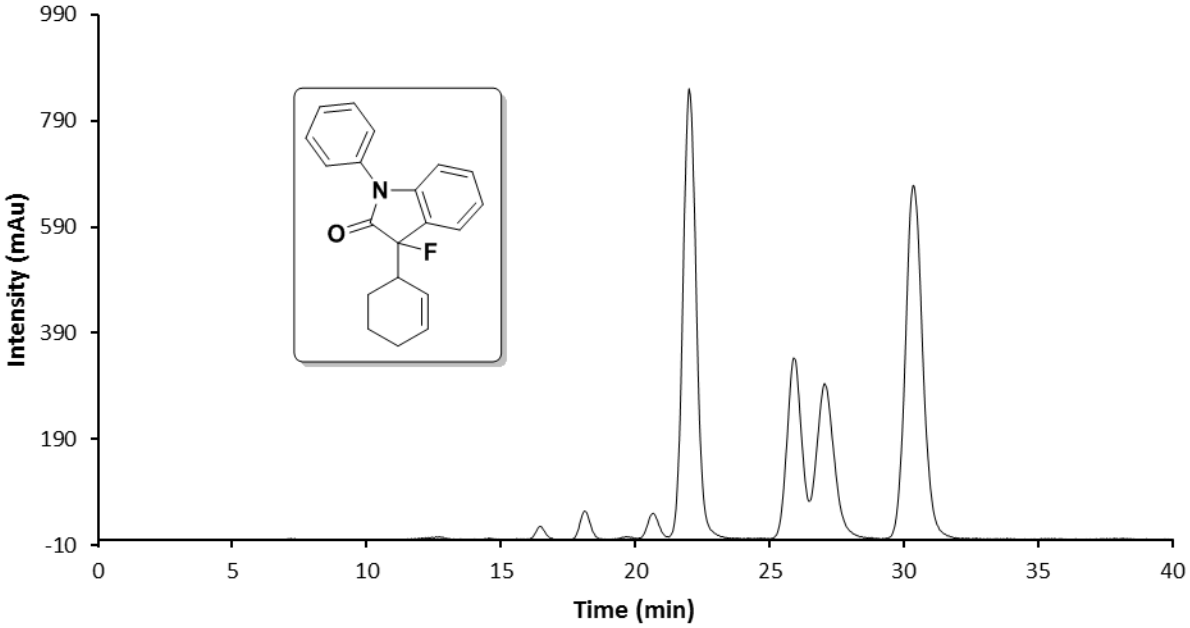
HPLC chromatogram of *racemic* (*E*)-3-(1,3-bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh).



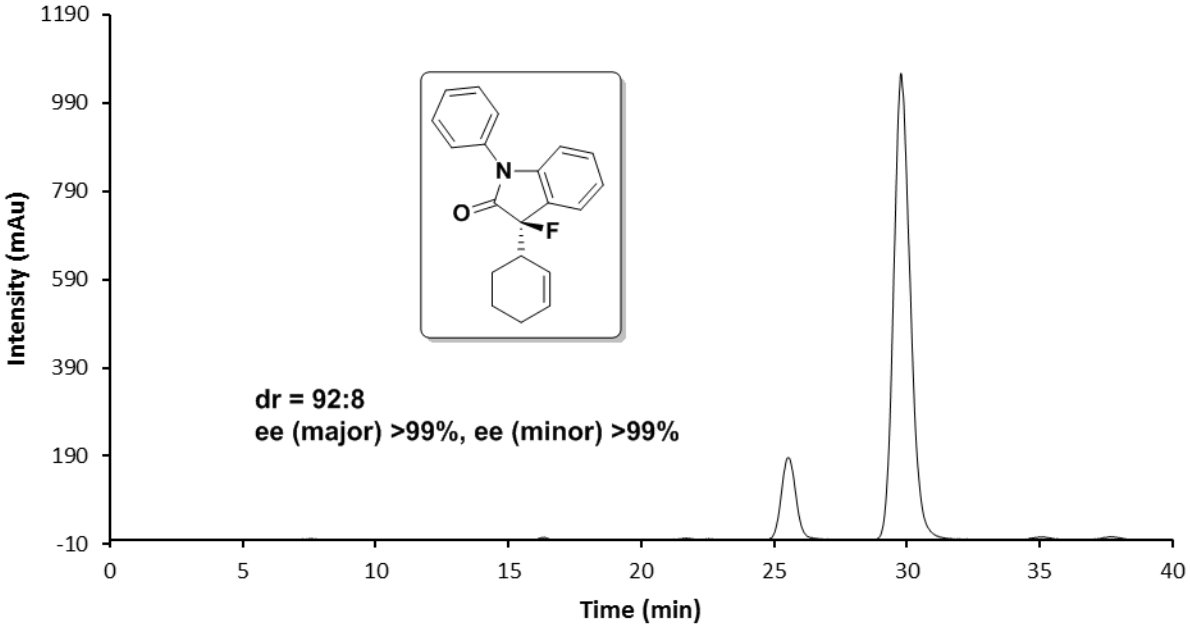
HPLC chromatogram of (*R*)-3-((*R*,*E*)-1,3-bis(3-nitrophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dh).



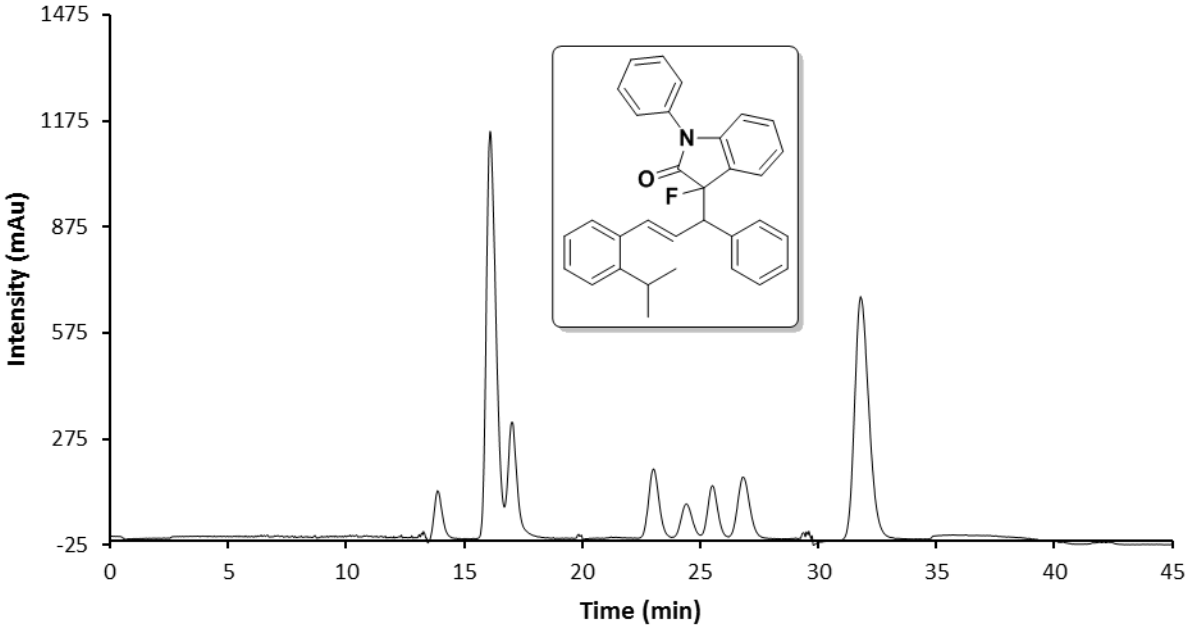
HPLC chromatogram of *racemic*-3-(cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di).



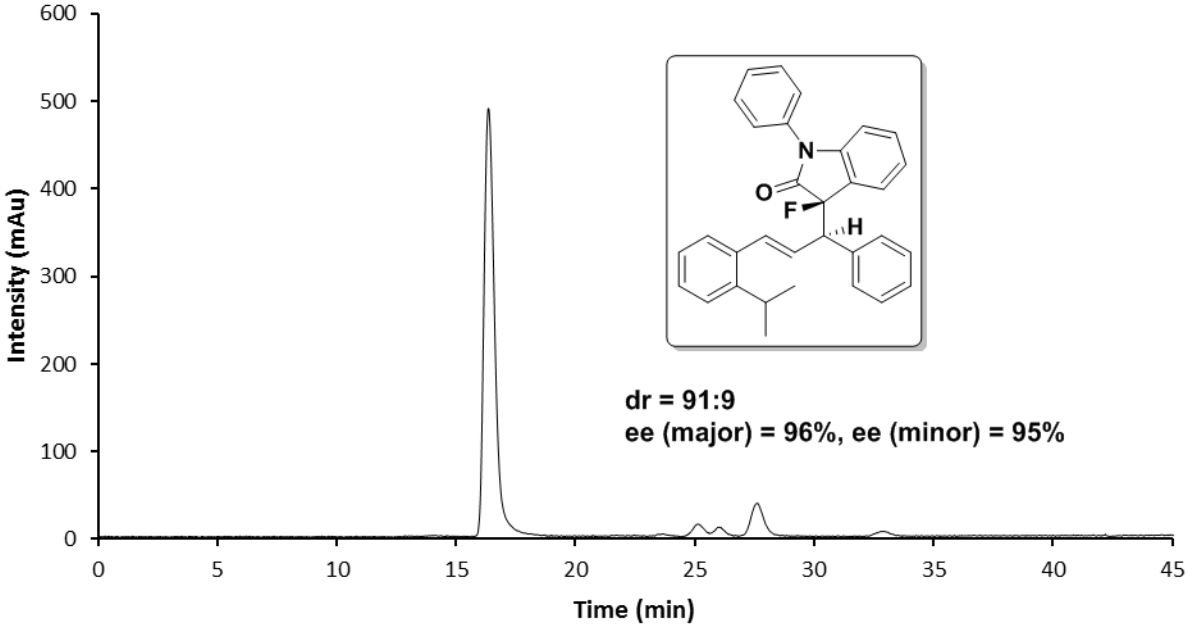
HPLC chromatogram of (*R*)-3-((*R*)-cyclohex-2-en-1-yl)-3-fluoro-1-phenylindolin-2-one (3di).



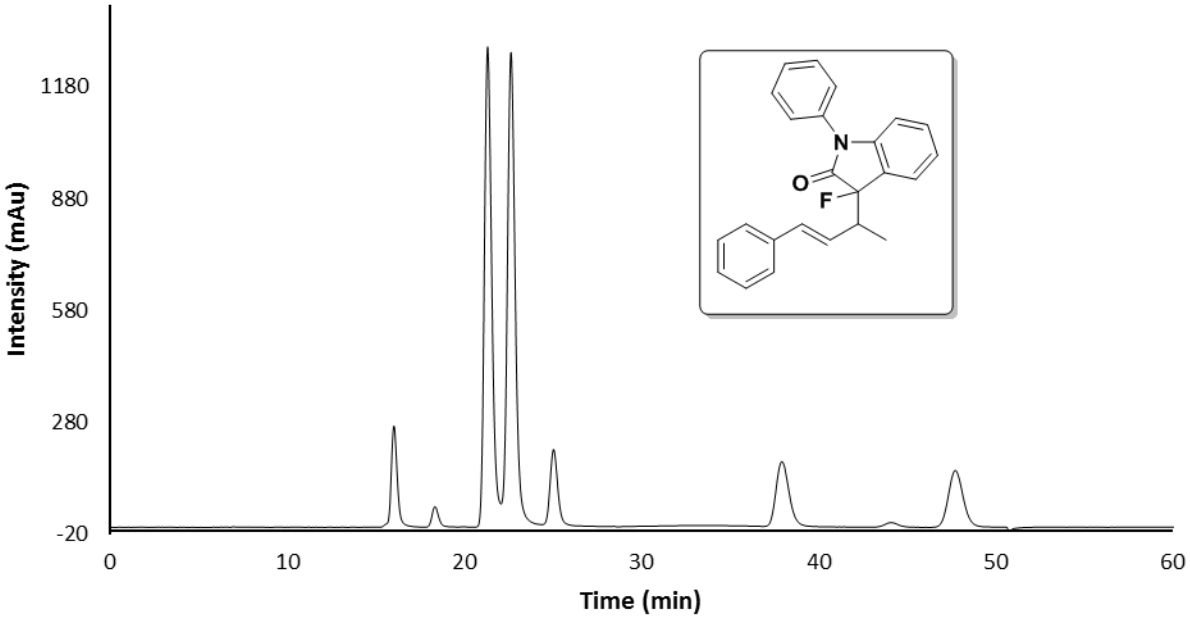
HPLC chromatogram of *racemic* (*E*)-3-fluoro-3-(3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj).



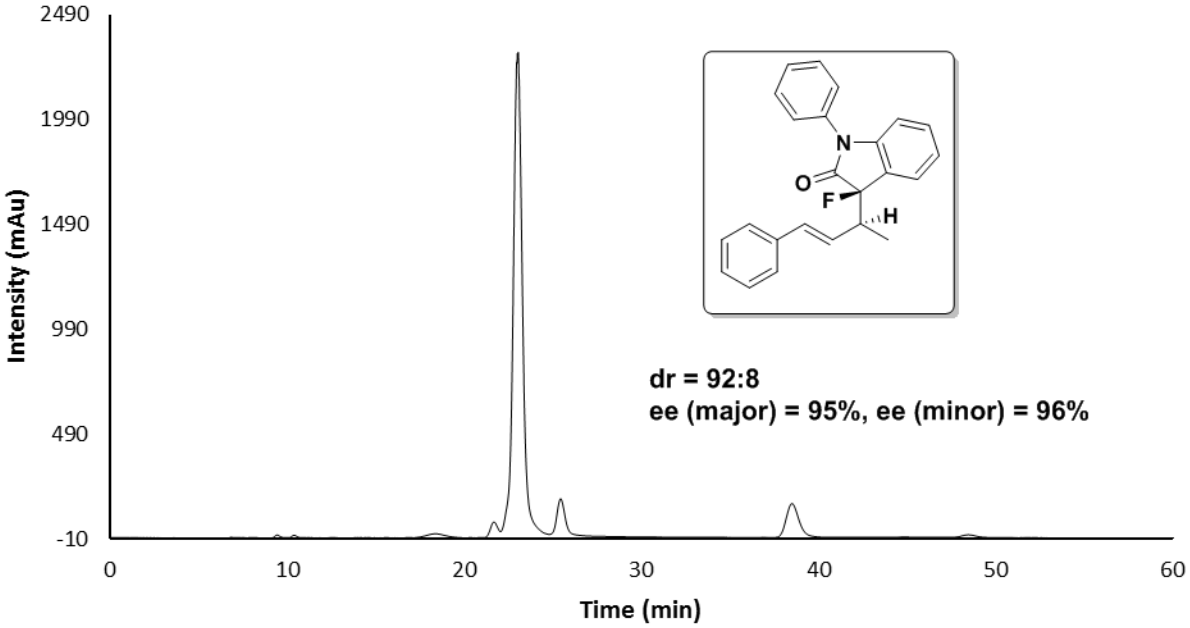
HPLC chromatogram of (*R*)-3-fluoro-3-((*R*,*E*)-3-(2-isopropylphenyl)-1-phenylallyl)-1-phenylindolin-2-one (3dj).



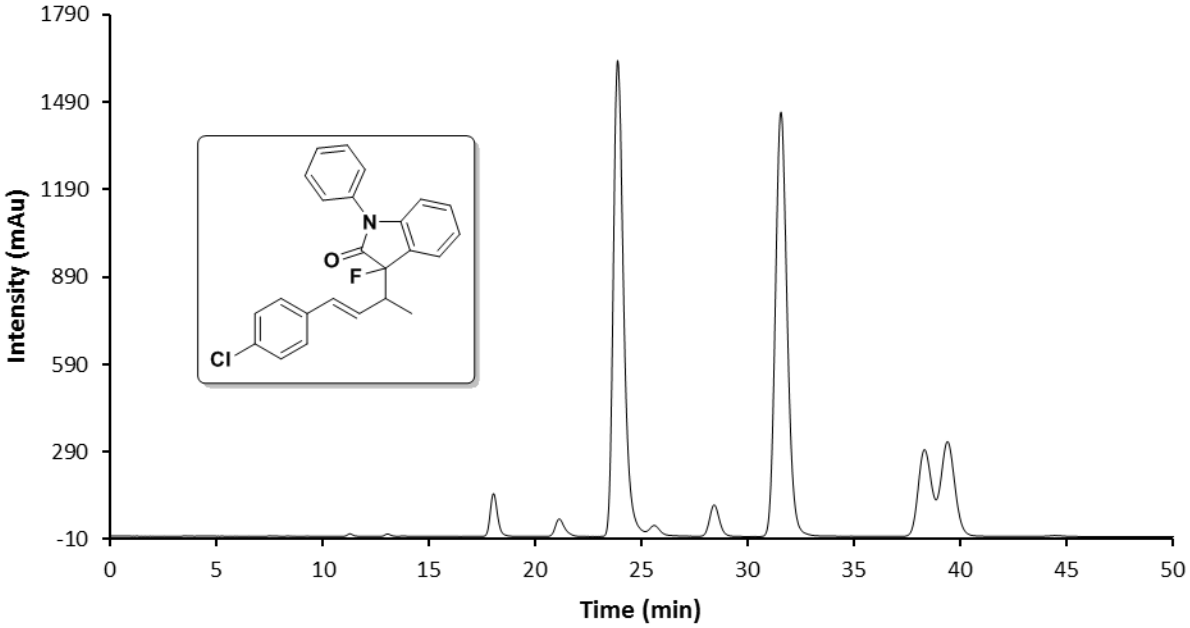
HPLC chromatogram of *racemic* (*E*)-3-fluoro-1-phenyl-3-(4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



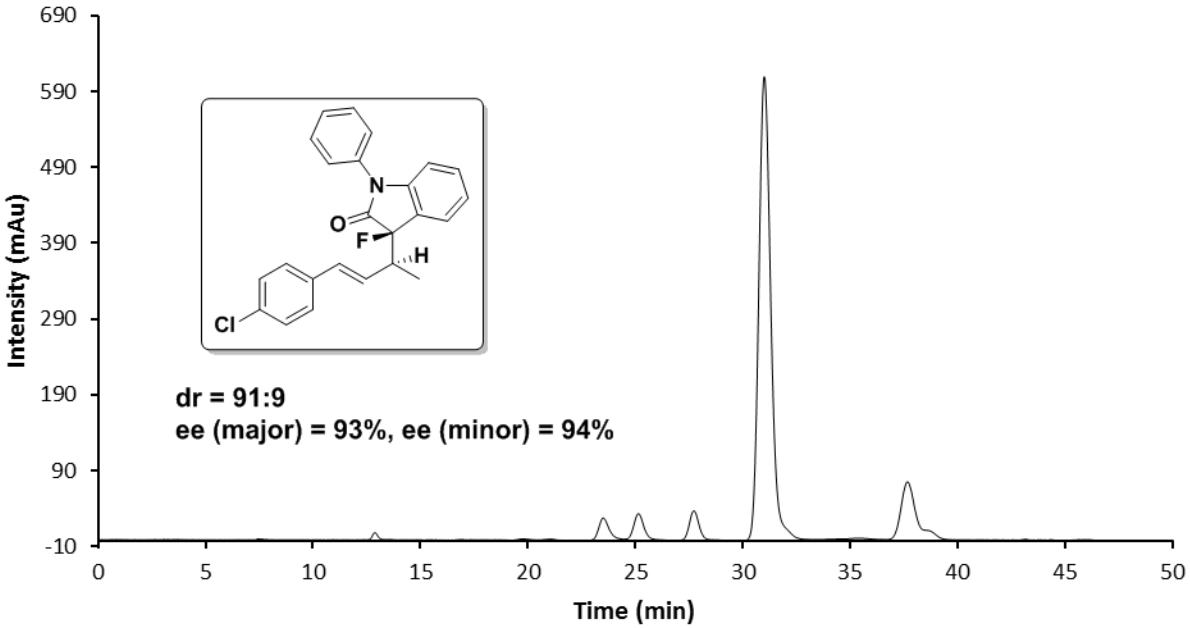
HPLC chromatogram of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-phenylbut-3-en-2-yl)indolin-2-one (3dk).



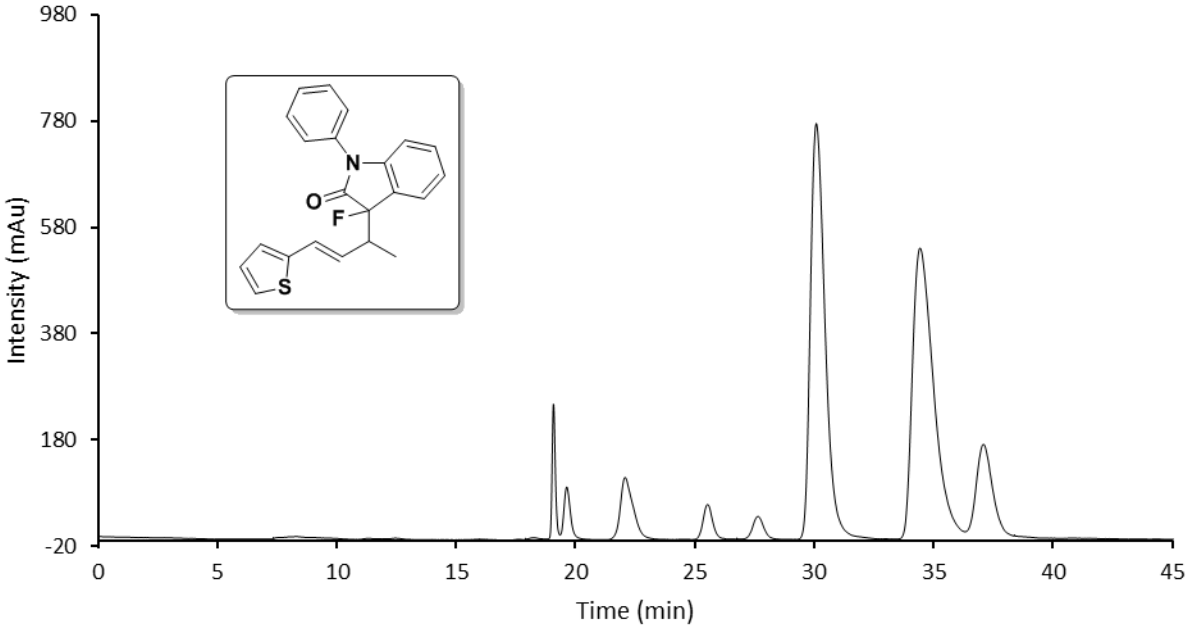
HPLC chromatogram of *racemic* (*E*)-3-(4-(4-chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one
(3dl).



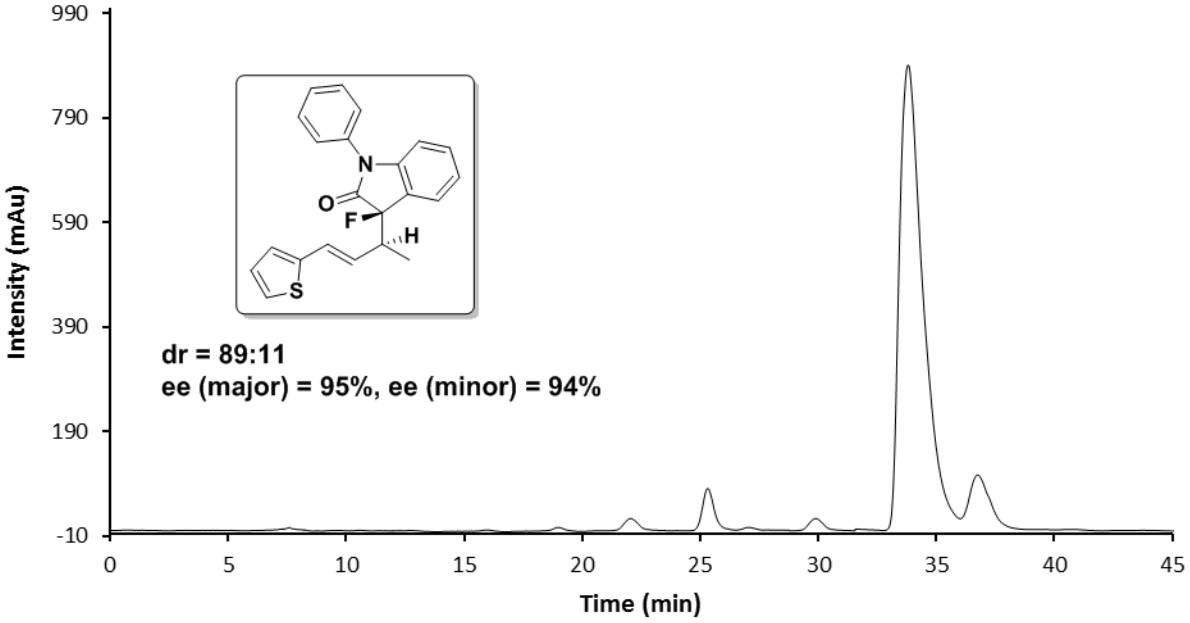
HPLC chromatogram of (*R*)-3-((*S*,*E*)-4-(4-chlorophenyl)but-3-en-2-yl)-3-fluoro-1-phenylindolin-2-one (3dl).



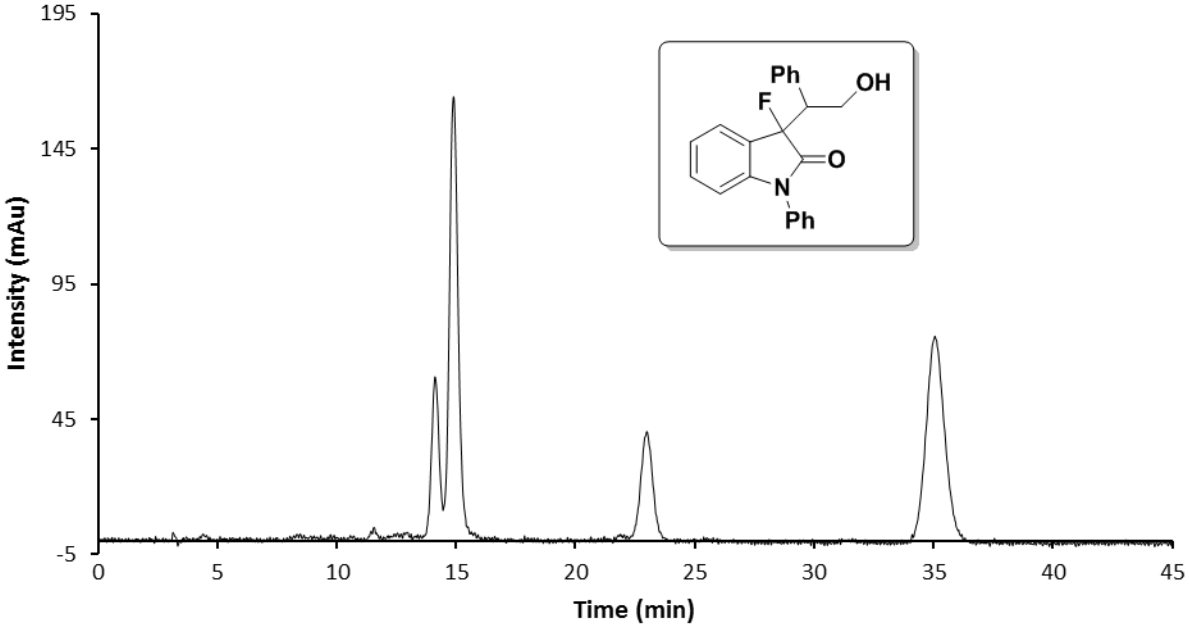
HPLC chromatogram of *racemic* (*E*)-3-fluoro-1-phenyl-3-(4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm).



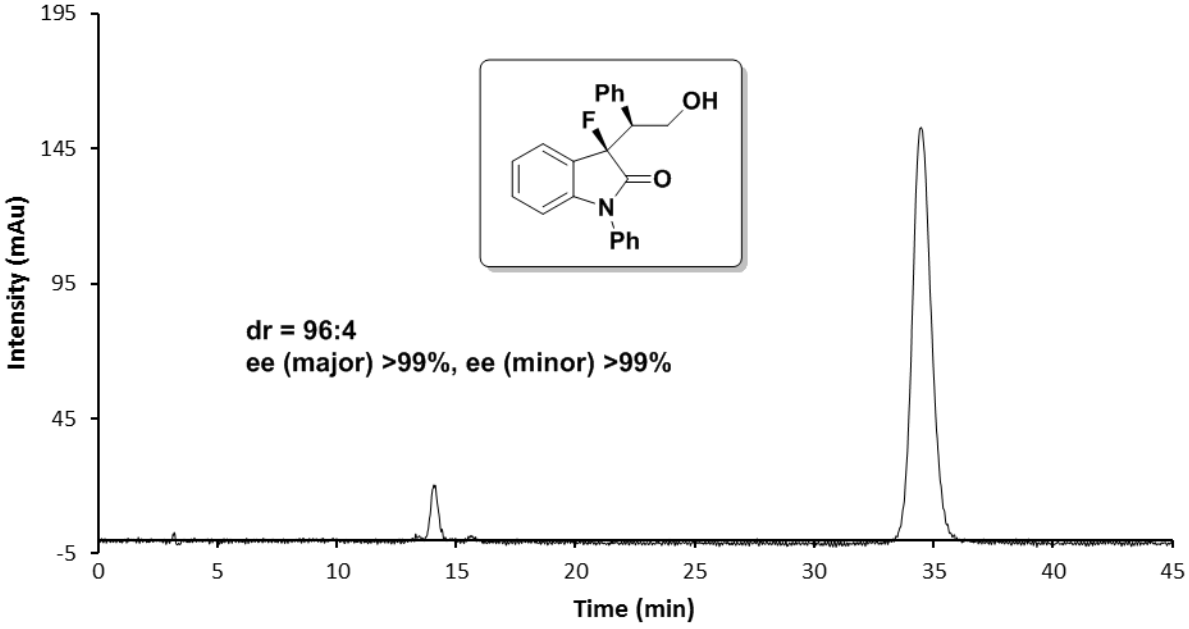
HPLC chromatogram of (*R*)-3-fluoro-1-phenyl-3-((*S*,*E*)-4-(thiophen-2-yl)but-3-en-2-yl)indolin-2-one (3dm).



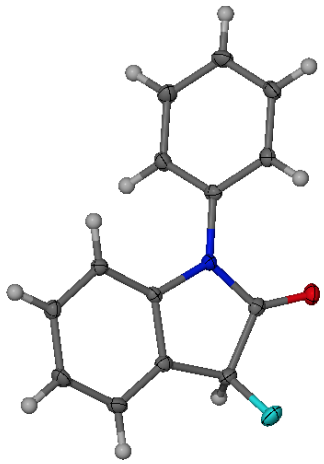
HPLC chromatogram of *racemic* 3-fluoro-3-(2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5).



HPLC chromatogram of (*R*)-3-fluoro-3-((*R*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5).

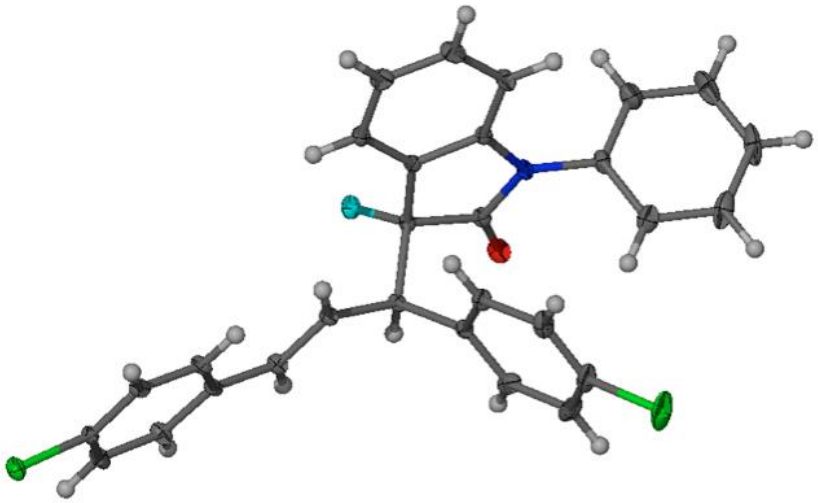


6. Crystallographic Analysis



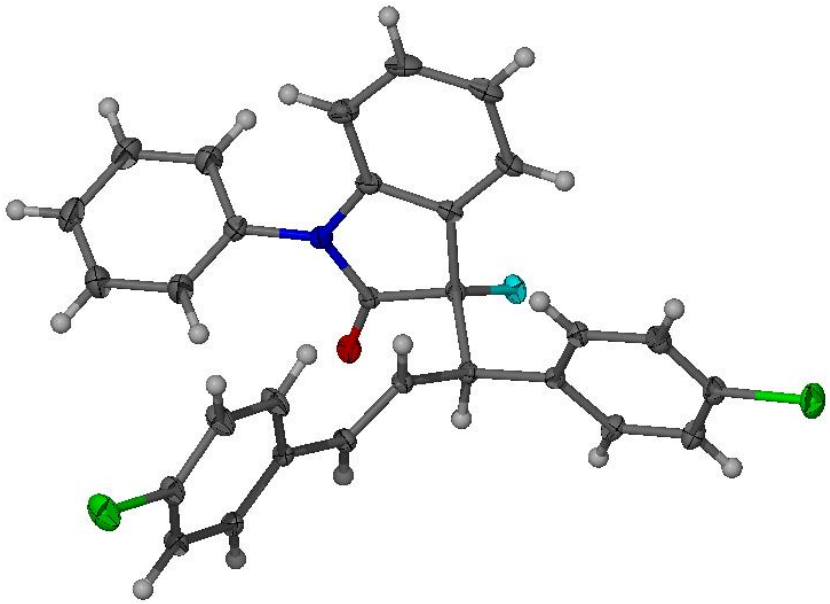
3-Fluoro-1-phenylindolin-2-one (1d)

A single crystal was obtained by slow evaporation of a solution containing the chiral compound in a mixture of ethyl acetate and hexanes (5% EtOAc in hexanes). Single crystal X-ray analysis was performed at 296 K using a Siemens platform diffractometer with graphite monochromated Mo-Kα radiation (λ = 0.71073 Å). Data were integrated and corrected using the Apex 2 program. The structures were solved by direct methods and refined with full-matrix least-square analysis using SHELX-97-2 software. Non-hydrogen atoms were refined with anisotropic displacement parameter. Crystal data: $C_{14}H_{10}FNO$, *M* = 227.23, colourless prism, 0.26 x 0.18 x 0.12 mm^3, triclinic, space group *P-1*, a = 7.3448(7), b = 10.5267(10), c = 14.4445(14) Å, *V* = 1060.21(18) $Å^3$, *Z* = 4.



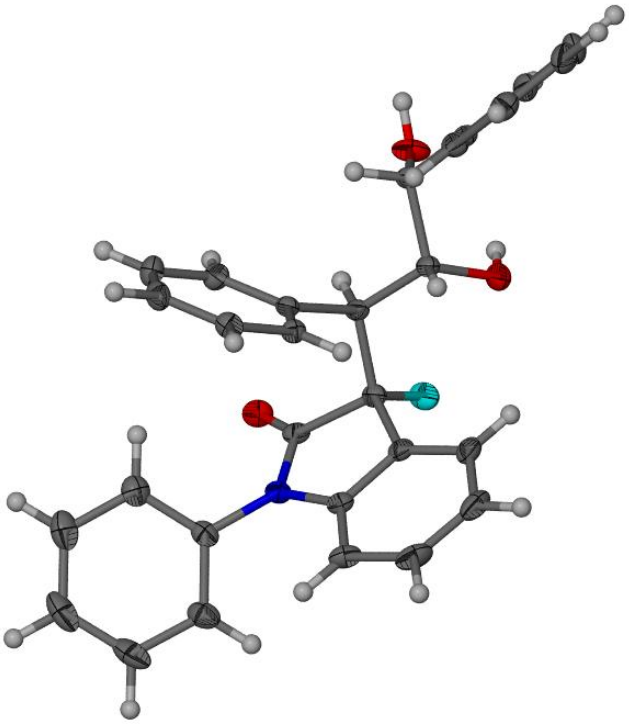
(*R*)-3-((*R*,*E*)-1,3-Bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc, major diastereomer)

A single crystal was obtained by slow evaporation of a solution containing the chiral compound in a mixture of IPA and hexanes (5% IPA in hexanes). Single crystal X-ray analysis was performed at 296 K using a Siemens platform diffractometer with graphite monochromated Mo-Kα radiation (λ = 0.71073 Å). Data were integrated and corrected using the Apex 2 program. The structures were solved by direct methods and refined with full-matrix least-square analysis using SHELX-97-2 software. Non-hydrogen atoms were refined with anisotropic displacement parameter. Crystal data: $C_{29}H_{20}Cl_2FNO$, *M* = 488.36, colourless prism, 0.35 x 0.18 x 0.12 mm^3, orthorhombic, space group $P2_12_12_1$, a = 7.2442(5), b = 12.5188(9), c = 26.1631(19) Å, *V* = 2372.7(3) $Å^3$, *Z* = 4, Absolute structure parameter = 0.015(44) (Flack, H. D. *Acta Cryst*. 1983, *A39*, 876-881).



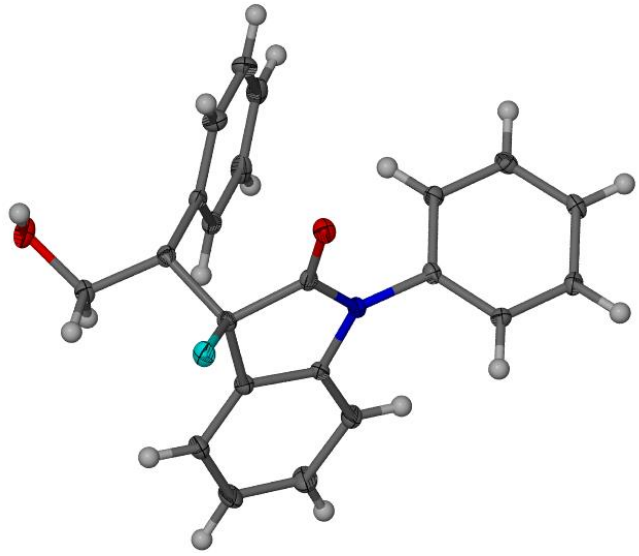
(*S*)-3-((*R*,*E*)-1,3-Bis(4-chlorophenyl)allyl)-3-fluoro-1-phenylindolin-2-one (3dc, minor diastereomer)

A single crystal was obtained by slow evaporation of a solution containing the chiral compound in a mixture of DCM and hexanes (5% DCM in hexanes). Single crystal X-ray analysis was performed at 296 K using a Siemens platform diffractometer with graphite monochromated Mo-Kα radiation (λ = 0.71073 Å). Data were integrated and corrected using the Apex 2 program. The structures were solved by direct methods and refined with full-matrix least-square analysis using SHELX-97-2 software. Non-hydrogen atoms were refined with anisotropic displacement parameter. Crystal data: $C_{29}H_{20}Cl_2FNO$, M = 488.36, colourless prism, 0.12 x 0.09 x 0.05 mm^3, orthorhombic, space group $P2_12_12_1$, a = 6.202(4), b = 14.884(9), c = 25.558(15) Å, V = 2359(3) $Å^3$, Z = 4.



(*R*)-3-((1*S*,2*R*,3*R*)-2,3-Dihydroxy-1,3-diphenylpropyl)-3-fluoro-1-phenylindolin-2-one (4b)

A single crystal was obtained by slow evaporation of a solution containing chiral diol in ethyl acetate and hexanes (10% EtOAc in hexanes). Single crystal X-ray analysis was performed at 173 K using a Siemens platform diffractometer with graphite monochromated Mo-Kα radiation (λ = 0.71073 Å). Data were integrated and corrected using the Apex 2 program. The structures were solved by direct methods and refined with full-matrix least-square analysis using SHELX-97-2 software. Non-hydrogen atoms were refined with anisotropic displacement parameter. Crystal data: $C_{29}H_{24}FNO_3$, M = 453.49, colorless block, 0.23 x 0.18 x 0.11 mm^3, orthorhombic, space group $P2_12_12_1$, a = 8.2664(6), b = 11.6673(8), c = 23.8549(16) Å, V = 2300.7(3) $Å^3$, Z = 4. Absolute structure parameter = 0.051(668) (Flack, H. D. *Acta Cryst*. **1983**, *A39*, 876-881).



(*R*)-3-Fluoro-3-((*S*)-2-hydroxy-1-phenylethyl)-1-phenylindolin-2-one (5)

A single crystal was obtained by slow evaporation of a solution containing chiral compound in ethyl acetate and hexanes (10% EtOAc in hexanes). Single crystal X-ray analysis was performed at 296 K using a Siemens platform diffractometer with graphite monochromated Mo-Kα radiation (λ = 0.71073 Å). Data were integrated and corrected using the Apex 2 program. The structures were solved by direct methods and refined with full-matrix least-square analysis using SHELX-97-2 software. Non-hydrogen atoms were refined with anisotropic displacement parameter. Crystal data: $C_{22}H_{18}FNO_2$, M = 347.37, colorless block, 0.34 x 0.28 x 0.14 mm^3, orthorhombic, space group $C222_1$, a = 9.5752(7), b = 16.7632(16), c = 42.343(3) Å, V = 6796.5(9) $Å^3$, Z = 16. Absolute structure known from a standard.

7. References

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