Supporting information

Phosphine Catalyzed Enationselective and Diastereodivergent [3+2] Cyclization for Construction of Oxetane Dispirooxindole Skeletons

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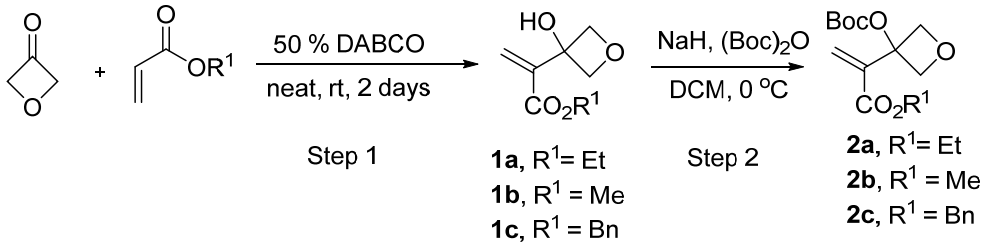
A. General information

Unless otherwise specified, all reactions were carried out with dry solvents in anhydrous conditions. All solvents were dried by activated molecular sieve (3 Å). All chemicals were used without further purification as commercially available unless otherwise noted. Thin-layer chromatography (TLC) was performed on silica gel plates (60F-254) using UV-light (254 and 365 nm). Flash chromatography was conducted on silica gel (200–300 mesh). ^{1}H and ^{13}C NMR spectra were recorded on a Bruker AMX400 (400 MHz) spectrometer. Chemical shifts were reported in parts per million (ppm). High resolution mass spectra (HRMS) were recorded on a Waters TOF MS GCT Premier using ESI ionization. Petroleum ether (PE) refers to the fraction with boiling point in the range 60 – 90 °C. Optical rotations were measured using a Jasco DIP-1000 polarimeter. Enantiomeric excesses were determined by HPLC analysis on a chiral stationary phase. Amino acid derived phosphine was prepared by our group. [1] Methyleneoxindole was prepared according the reported procedure. [2]

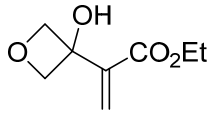
B. Synthesis and characterization of Oxetane Morita-Baylis-Hillman carbonates **2**



Step 1. To the neat mixture of 3-oxetanone (1.0g, 13.88 mmol, 1.0 equiv.) and acrylate (4.0 equiv.) was added DABCO (1.0 equiv.), then the resulting slurry was stirred vigorously at room temperature. After two days, the reaction mixture was diluted with DCM. Then the solution was washed with 4 N aqueous HCl, followed by saturated $NaHCO_3$ solution and brine. The organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuum to get the crude product that was purified by flash column chromatography to give Morita-Baylis-Hillman alcohols **1**.

Step 2. To the suspension of NaH (1.0 equiv.) in DCM at 0 °C was added dropwise the DCM solution of Morita-Baylis-Hillman alcohol (1.0 equiv.) After the addition completely, the mixture was stirred at 0 °C for 10 minutes followed by the addition of DCM solution of $(Boc)_2O$ (1.1 equiv.) at the sam temperature over 5 mins. The resulting solution was stirred at room temperature for 1 h. The solvent was removed under vacuum and the crude mixture was purified by column chromatography to afford oxetane Morita-Baylis-Hillman carbonate **2**.

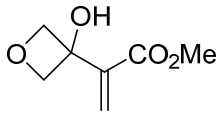
*Ethyl 2-(3-hydroxyoxetan-3-yl)acrylate (**1a**)*



Yield, 77 %, colorless transparent liquid; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.41 – 6.31 (m, 1H), 5.91 – 5.83 (m, 1H), 4.71 (q, *J* = 7.2 Hz, 4H), 4.22 (q, *J* = 7.1 Hz, 2H), 3.98 (s, 1H), 1.29 (t, *J* = 7.1 Hz,

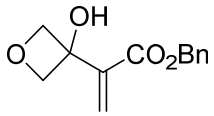
3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.07, 140.08, 125.05, 81.76, 74.51, 61.34, 13.97; **HRMS** (ESI): m/z calcd for $C_8H_{12}O_4$ $[M+H]^+$ = 173.0808, found =173.0813.

*Methyl 2-(3-hydroxyoxetan-3-yl)acrylate **(1b)***



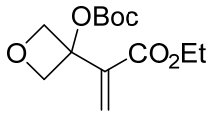
Yield: 80 %, colorless transparent liquid; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.41 (s, 1H), 5.94 (s, 1H), 4.74 (q, *J* = 7.2 Hz, 4H), 3.81 (s, 3H), 3.77 (s, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.71, 139.77, 125.42, 81.70, 74.63, 52.36; **HRMS** (ESI): m/z calcd for $C_7H_{10}O_4$ $[M+H]^+$ = 159.0652, found = 159.0653.

*Benzyl 2-(3-hydroxyoxetan-3-yl)acrylate (**1c**)*



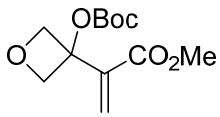
Yield: 73 %, colorless transparent liquid; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.44 – 7.31 (m, 5H), 6.46 (s, 1H), 5.95 (s, 1H), 5.24 (s, 2H), 4.75 (q, *J* = 7.2 Hz, 4H), 3.77 (s, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.01, 139.88, 135.10, 128.68, 128.55, 128.17, 125.67, 81.73, 74.65, 67.14; **HRMS** (ESI): m/z calcd for $C_{13}H_{14}O_4$ $[M+H]^+$ = 235.0965, found = 235.0967.

*Ethyl 2-(3-((tert-butoxycarbonyl)oxy)oxetan-3-yl)acrylate (**2a**)*



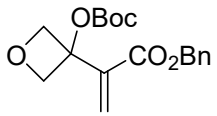
Yield: 90 %, white solid; M.p. 51.3–53.2 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.58 (s, 1H), 6.07 (s, 1H), 4.92 (s, 4H), 4.25 (q, *J* = 7.1 Hz, 2H), 1.45 (s, 9H), 1.30 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 164.57, 151.41, 136.57, 129.46, 83.14, 79.82, 79.30, 61.14, 58.46, 27.72, 18.42, 14.10; **HRMS** (ESI): m/z calcd for $C_{13}H_{20}O_6$ $[M+H]^+$ = 273.1333, found =273.1335.

*Methyl 2-(3-((tert-butoxycarbonyl)oxy)oxetan-3-yl)acrylate (**2b**)*



Yield: 88 %, white solid; M.p. 44.3–51.2 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.60 (s, 1H), 6.09 (s, 1H), 4.91 (s, 4H), 3.78 (s, 3H), 1.44 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 165.02, 151.36, 136.19, 129.93, 83.19, 79.69, 79.22, 77.32, 52.16, 27.70; **HRMS** (ESI): m/z calcd for $C_{12}H_{18}O_6$ $[M+H]^+$ = 259.1176, found =259.1178;

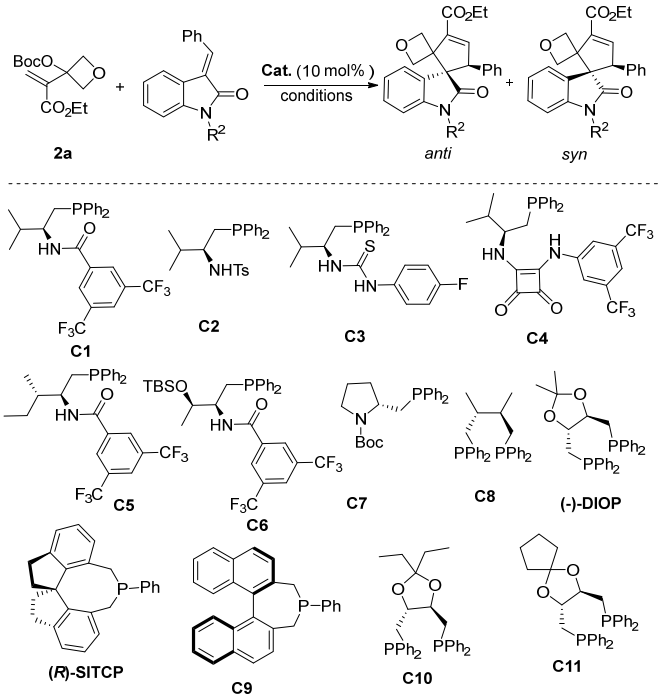
*Benzyl 2-(3-((tert-butoxycarbonyl)oxy)oxetan-3-yl)acrylate (**2c**)*



Yield: 89 %, white solid; M.p. 49.2–51.6 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.40–7.28 (m, 5H), 6.63 (s, 1H), 6.10 (s, 1H), 5.23 (s, 2H), 4.79 (s, 4H), 1.44 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 164.29, 151.33, 136.24, 135.48, 129.99, 128.52, 128.25, 127.99, 83.05, 79.66, 79.16, 66.71, 27.64; **HRMS** (ESI): m/z calcd for $C_{18}H_{22}O_6$ $[M+H]^+$ = 335.1489, found =335.1494.

C. Optimization for the reaction.

Table S1. Optimization for *syn* isomer [a]

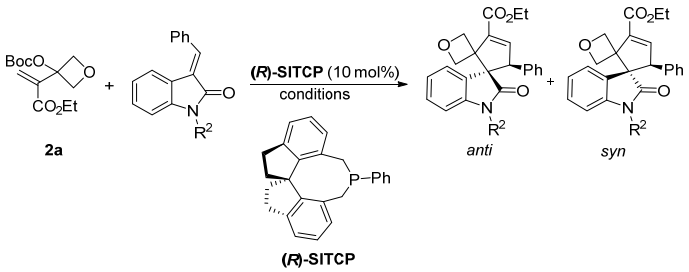


Entry	R^2	Catalysis	Product, yield [b], ee [c], dr (syn : anti) [d]
1	Boc, **3a**	**C1**	*syn*-**4aa**, 52%, 36% ee, 2.9:1
2	**3a**	**C2**	trace, -, -
3	**3a**	**C3**	nr, -, -
4	**3a**	**C4**	trace, -, -
5	**3a**	**C5**	*syn*-**4aa**, 42%, 4%, 3.1:1
6	**3a**	**C6**	*syn*-**4aa**, 40%, 10%, 1.8:1
7	**3a**	**C7**	*syn*-**4aa**, 71%, 39%, 1.7:1
8	**3a**	**C8**	*syn*-**4aa**, 51%, 34%, 3.3:1
9	**3a**	**(-)-DIOP**	*syn*-**4aa**, 64%, 75%, 3.5:1
10	**3a**	**(R)-SITCP**	*anti*-**4aa**, 97%, 86%, 1/7.5
11	**3a**	**C9**	nr, -, -
12	**3a**	**C10**	*syn*-**4aa**, 32%, 70%, 1.2:1
13	**3a**	**C11**	*syn*-**4aa**, 30%, 70%, 3.3:1
14 [e]	**3a**	**(-)-DIOP**	*syn*-**4aa**, 49%, 89%, 5.0:1
15 [f]	**3a**	**(-)-DIOP**	*syn*-**4aa**, 74%, 86%, 4.8:1
16 [g]	**3a**	**(-)-DIOP**	*syn*-**4aa**, 45%, 67%, 2.9:1
17 [f]	Ac, **5a**	**(-)-DIOP**	*syn*-**6aa**, 89%, 95%, 5.0:1
18 [f]	Ts, **7a**	**(-)-DIOP**	*syn* -**8aa**, 97%, 60%, 4.8:1
19 [f]	Cbz, **9a**	**(-)-DIOP**	*syn* -**10aa**, 96%, 78%, 5.0:1

20 [f]	Bz, **11a**	**(-)-DIOP**	*syn* -**12aa**, 55%, 3%, 3.3:1
21 [f]	Bn, **13a**	**(-)-DIOP**	nr, -, -
22 [f,h]	**5a**	**(-)-DIOP**	*syn*-**6aa**, 97%, 97%, 3.1:1
23 [f,i]	**5a**	**(-)-DIOP**	*syn*-**6aa**, 63%, 88%, 3.2:1
24 [f,j]	**5a**	**(-)-DIOP**	*syn* -**6aa**, 82%, 95%, 5.0:1
25 [f,k]	**5a**	**(-)-DIOP**	syn-**6aa**, 96%, 95%, 4.0:1
26 [f,l]	**5a**	**(-)-DIOP**	syn-**6aa**, 94%, 96%, 4.2:1
27 [f,m]	**5a**	**(-)-DIOP**	syn-**6aa**, 73%, 98%, 4.0:1
28 [f,n]	**5a**	**(-)-DIOP**	nr, -, -

[a] Unless otherwise stated, the reaction was carried out using **2a** (0.2 mmol, 1.0 equiv), methyleneoxindole (0.3 mmol, 1.5 equiv), 10 mol% of catalyst and 1 mL toluene stirred overnight. [b] Isolated yield of two isomers. [c] The ee values was determined by HPLC analysis with a chiral stationary phase. [d] The dr value was determined by the mixture of crude ^{1}H NMR. [e] -10 °C. [f] 0 °C. [g] 40 °C. [h] DCM as solvent. [i] acetone. [j] MeCN. [k] Ea. [l] THF. [m] DMF. [n] MeOH.

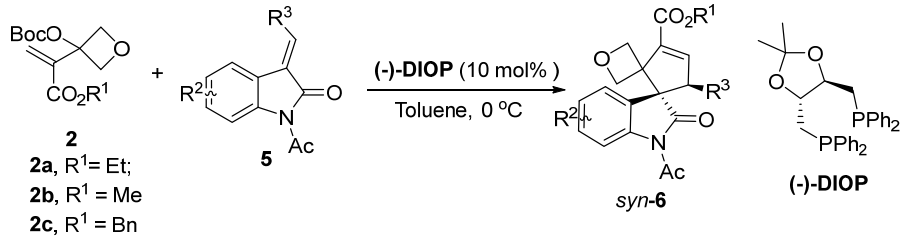
Table S2. Optimization for *anti* isomer with **(R)-SITCP** [a]



entry	R^2	solvent	Temp. (°C)	Product	yield (%) [b]	ee (%) [c]	dr
1	Boc, **3a**	toluene	rt	*anti*-**4aa**	97	86	1:7.5
2	**3a**	toluene	10	*anti*-**4aa**	89	87	1:9
3	**3a**	toluene	0	*anti*-**4aa**	82	90	1:11
4	**3a**	toluene	-10	*anti*-**4aa**	25	91	1:10
5	**3a**	DCM	0	*anti*-**4aa**	84	74	1:3
6	**3a**	Ea	0	*anti*-**4aa**	97	83	1:5
7	**3a**	MeCN	0	*anti*-**4aa**	59	67	1:2.5
8	**3a**	THF	0	*anti*-**4aa**	82	86	1:5.5
9	**3a**	ethylbenzene	0	*anti*-**4aa**	45	90	1:5
10	**3a**	acetone	0	*anti*-**4aa**	60	78	1:7
11	**3a**	mesitylene	0	*anti*-**4aa**	51	87	1:3.5
12	**3a**	ortho	0	*anti*-**4aa**	63	85	>1:19
13	**3a**	chlorobenzene	0	*anti*-**4aa**	89	87	1:9
14	**3a**	bromobenzene	0	*anti*-**4aa**	84	87	1:10
15	**3a**	chloroform	0	*anti*-**4aa**	46	89	1:6
16 [e]	Ac, **5a**	toluene	0	*anti*-**6aa**	78	84	1:14
17 [e]	Ts, **7a**	toluene	0	*anti*-**8aa**	77	81	1:2.5
18 [e]	Cbz, **9a**	toluene	0	*anti*-**10aa**	76	86	1:8
19 [e]	Bz, **11a**	toluene	0	*anti*-**12aa**	81	86	>1:19
20 [e]	Bn, **13a**	toluene	0	*nr*	-	-	-

[a] Unless otherwise stated, the reaction was carried out using **2a** (0.05 mmol, 1.0 equiv), methyleneoxindole (0.075 mmol, 1.5 equiv), 10 mol% of (R)-SITCP and 1 mL toluene and stirred overnight. [b] Isolated yield of two isomers. [c] The ee values was determined by HPLC analysis with a chiral stationary phase. [d] The dr value was determined by the mixture of crude product ^{1}H NMR. [e] The reaction scale was doubled.

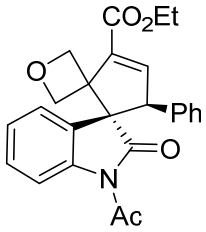
D. General procedure for the synthesis of *syn* isomer with **(-)-DIOP**



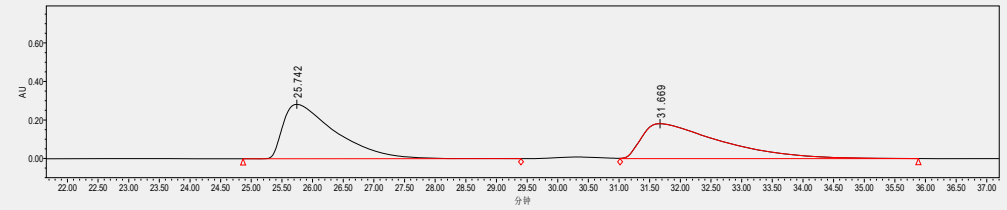
To the solution of oxetane MBH carbonate **2** (0.2 mmol, 1 eq.) and **5** (0.3 mmol, 1.5 eq.) in anhydrous toluene (2 mL) at 0 °C was added **(-)-DIOP** (10.0 mg, 0.02 mmol, 0.1 eq.), and the resulting mixture was stirred overnight. When MBH carbonate **2** was consumed monitored by TLC, the reaction mixture was purified directly by flash column chromatography (hexane/ ethyl acetate = 8/1) to afford a mixture of two isomers. Further purification was carried out via flash column chromatography to get pure *syn* isomer.

E. Analytic data for the *syn* isomer.

Ethyl (3R,5'S)-1-acetyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6aa)***

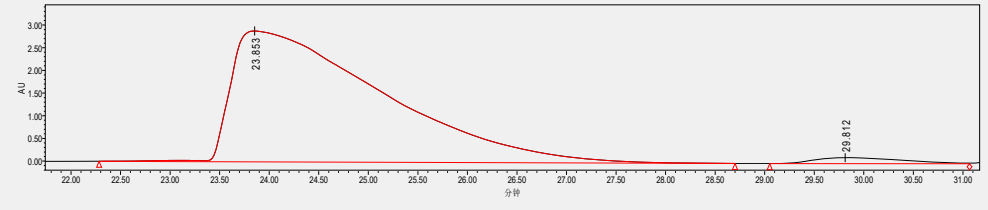


According to the general procedure to afford the mixture of two isomers (74.3 mg, 92% yield), and the title compound was further purified as a white solid; M.p. 143.3–146.2 °C; $[\alpha]^{25}_{D}$ = +62.403 (c 0.26, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 2.2 Hz, 1H), 7.40 (dd, *J* = 8.3, 2.2 Hz, 1H), 6.77 (dd, *J* = 4.4, 2.2 Hz, 1H), 6.62 (d, *J* = 8.3 Hz, 1H), 4.25 (q, *J* = 7.2 Hz, 2H), 4.20 (dd, *J* = 5.4, 2.8 Hz, 1H), 3.69 – 3.62 (m, 1H), 3.17 (dd, *J* = 22.1, 6.0 Hz, 2H), 1.33 (dd, *J* = 9.0, 5.3 Hz, 3H), 1.30 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 179.3, 175.7, 163.5, 150.4, 139.2, 136.1, 132.3, 132.2, 130.7, 129.4, 124.3, 61.2, 54.1, 43.2, 35.8, 31.5, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{24}NO_5$ $[M+H]^+$ = 418.1649, found = 418.1653; **HPLC**: The ee value was 92%, t_R (major) = 32.23 min, t_R (minor) = 31.32 min (Chiralpak IE 3, λ = 254 nm, 5% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	25.742	16776056	50.93	283126
2	31.669	16160210	49.07	181588

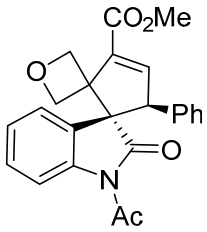
Racemic ***syn*-6aa**



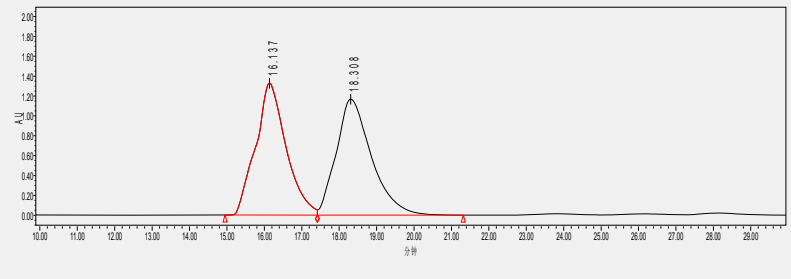
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	23.853	305961721	97.40	2885411
2	29.812	8163394	2.60	131712

Enantiomerically enriched ***syn*-6aa**

Methyl (3R,5'S)-1-acetyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ba)***

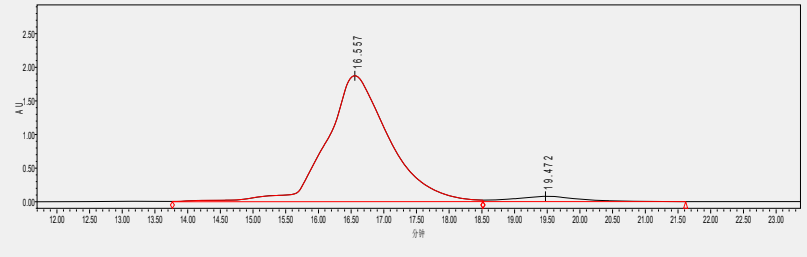


According to the general procedure to afford the mixture of two isomers (53.2 mg, 66% yield), and the title compound was further purified as a white solid; M.p. 133.3 – 136.2 °C; $[\alpha]^{25}_{D}$ = +140.152 (c 0.26, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.2 Hz, 1H), 7.21 (d, *J* = 2.2 Hz, 1H), 7.15 (s, 1H), 7.12 – 7.08 (m, 3H), 6.95 – 6.84 (m, 3H), 6.74 (d, *J* = 7.6 Hz, 1H), 5.14 (d, *J* = 6.8 Hz, 1H), 5.04 (d, *J* = 7.0 Hz, 1H), 4.98 (d, *J* = 6.8 Hz, 1H), 4.62 (d, *J* = 2.1 Hz, 1H), 3.95 (s, 3H), 3.89 (d, *J* = 7.0 Hz, 1H), 2.77 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.80, 170.51, 163.85, 145.60, 140.00, 137.66, 135.99, 129.07, 128.43, 127.89, 127.77, 125.96, 124.49, 124.09, 116.34, 76.19, 75.44, 64.71, 58.83, 57.56, 52.06, 26.98; **HRMS** (ESI): m/z calcd for $C_{24}H_{22}NO_5$ $[M+H]^+$ = 404.1492, found = 404.1496; **HPLC**: The ee value was 92%, t_R (major) = 16.5 min, t_R (minor) = 19.5 min (Chiralpak IE 3, λ = 254 nm, 7% *i*-PrOH/hexane, flow rate = 1.0 mL/min.



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	16.137	75119804	49.62	1327467
2	18.308	76279583	50.38	1166437

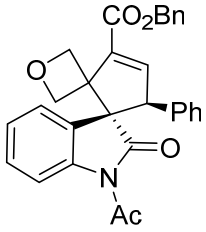
Racemic ***syn*-6ba**



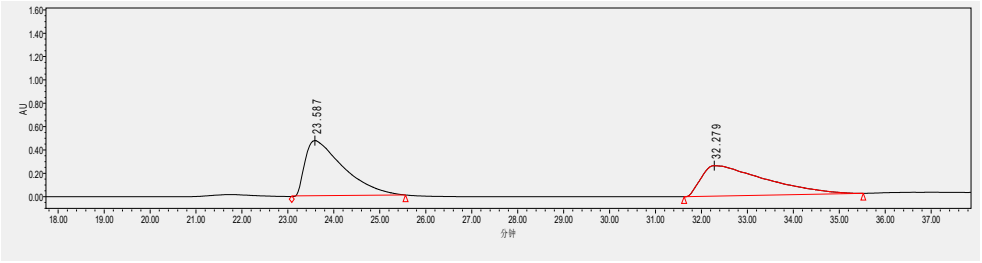
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	16.557	124482420	95.76	1873461
2	19.472	5515520	4.24	79705

Enantiomerically enriched ***syn*-6ba**

Benzyl (3R,5'S)-1-acetyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ca)***

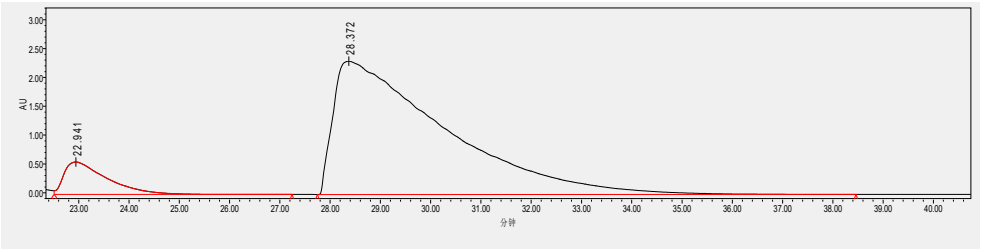


According to the general procedure to afford the mixture of two isomers (84.3 mg, 88% yield), and the title compound was further purified as a white solid; M.p. 120.1–123.8 °C; $[\alpha]^{25}_{D}$ = +25.108 (c 0.23, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.2 Hz, 1H), 7.49 (d, *J* = 7.1 Hz, 2H), 7.46 – 7.34 (m, 3H), 7.25 (d, *J* = 2.1 Hz, 1H), 7.15 (t, *J* = 7.9 Hz, 1H), 7.12 – 7.07 (m, 3H), 6.92 (d, *J* = 7.6 Hz, 1H), 6.87 (dd, *J* = 6.4, 2.8 Hz, 2H), 6.75 (d, *J* = 7.6 Hz, 1H), 5.40 (q, *J* = 12.4 Hz, 2H), 5.16 (d, *J* = 6.8 Hz, 1H), 5.06 (d, *J* = 7.0 Hz, 1H), 5.00 (d, *J* = 6.8 Hz, 1H), 4.62 (d, *J* = 2.0 Hz, 1H), 3.90 (d, *J* = 7.0 Hz, 1H), 2.77 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.8, 170.5, 163.2, 145.8, 139.9, 137.6, 135.9, 135.5, 129.0, 128.6, 128.4, 128.4, 128.3, 127.9, 127.7, 125.9, 124.5, 124.1, 116.3, 76.2, 75.4, 66.8, 64.7, 58.8, 57.6, 26.9; **HRMS** (ESI): m/z calcd for $C_{30}H_{26}NO_5$ $[M+H]^+$ = 480.1805, found = 480.1811; **HPLC**: The ee value was 82%, t_R (major) = 28.4 min, t_R (minor) = 22.9 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	23.587	27277878	51.92	471821
2	32.279	25260139	48.08	260961

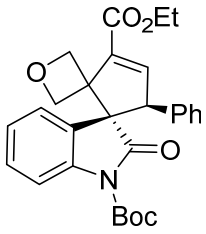
Racemic ***syn*-6ca**



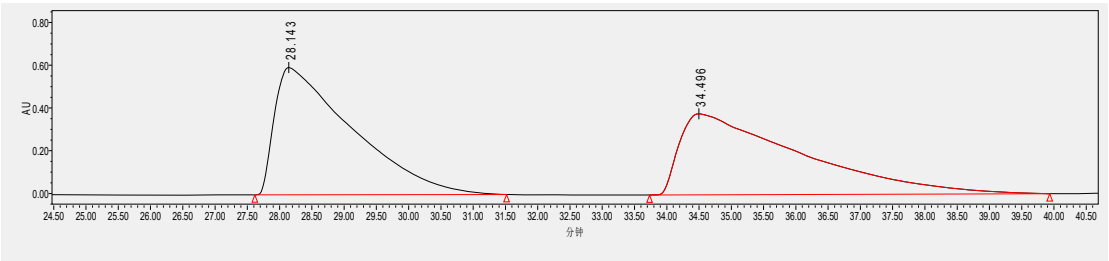
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	22.941	33452514	8.60	562661
2	28.372	355745735	91.40	2311652

Enantiomerically enriched ***syn*-6ca**

1-(tert-butyl) 3'-ethyl (3R,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(syn-4aa)***

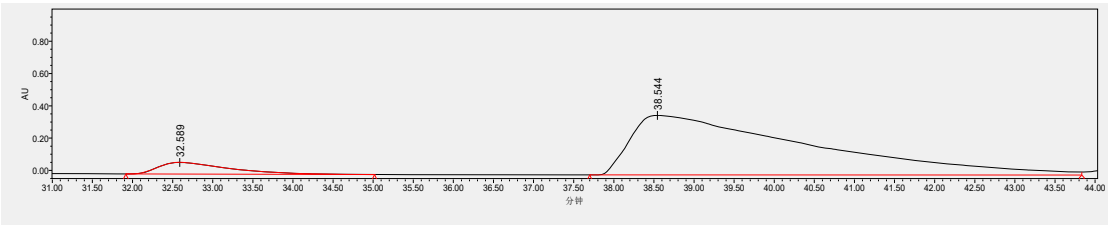


According to the general procedure to afford the mixture of two isomers (60.8 mg, 64% yield), and the title compound was further purified as a white solid; M.p. 169.4 – 174.1°C; $[\alpha]^{25}_{D}$ = +39.303 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.2 Hz, 1H), 7.17 (d, *J* = 2.2 Hz, 1H), 7.16 – 7.07 (m, 4H), 6.89 (dd, *J* = 6.3, 2.9 Hz, 2H), 6.84 (t, *J* = 7.6 Hz, 1H), 6.63 (d, *J* = 7.5 Hz, 1H), 5.16 (d, *J* = 6.8 Hz, 1H), 4.98 (t, *J* = 6.7 Hz, 2H), 4.57 (d, *J* = 2.1 Hz, 1H), 4.47 – 4.33 (m, 2H), 3.99 (d, *J* = 6.9 Hz, 1H), 1.65 (s, 9H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 175.4, 163.5, 148.7, 145.1, 139.7, 138.2, 136.3, 128.8, 128.3, 128.1, 127.6, 125.7, 124.5, 123.6, 114.8, 84.7, 76.3, 75.7, 64.4, 61.0, 58.1, 57.7, 28.1, 14.2; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_6$ $[M+H]^+$ = 476.2068, found = 476.2074; **HPLC**: The ee value was 86%, t_R (major) = 38.5 min, t_R (minor) = 32.6 min (Chiralpak IE 3, λ = 254 nm, 8% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	28.143	49728326	50.23	595721
2	34.496	49263728	49.77	378667

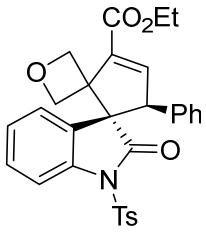
Racemic ***syn-4aa***



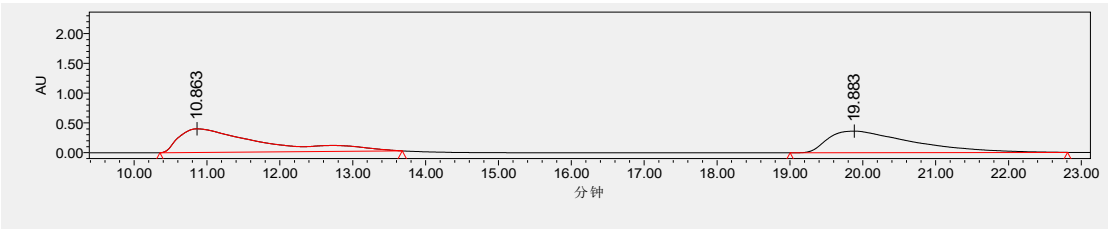
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	32.589	4352545	7.07	71689
2	38.544	57244772	92.93	368652

Enantiomerically enriched ***syn-4aa***

Ethyl (3R,5'S)-2-oxo-5'-phenyl-1-tosyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-8aa)***

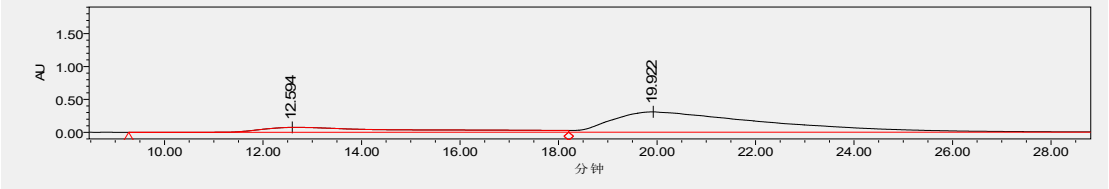


According to the general procedure to afford the mixture of two isomers (102.6 mg, 97% yield), and the title compound was further purified as a white solid; M.p. 186.3 – 189.1 °C; $[\alpha]^{25}_{D}$ = -4.911 (c 0.22, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.1 Hz, 2H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 2H), 7.18 (s, 1H), 7.10 (s, 1H), 7.04 (s, 1H), 6.93 (t, *J* = 7.5 Hz, 2H), 6.85 (s, 1H), 6.59 (d, *J* = 7.7 Hz, 2H), 6.55 (d, *J* = 7.6 Hz, 1H), 4.90 (d, *J* = 6.9 Hz, 1H), 4.79 (d, *J* = 6.9 Hz, 1H), 4.73 (d, *J* = 6.9 Hz, 1H), 4.43 (s, 1H), 4.38 (dd, *J* = 7.0, 4.8 Hz, 2H), 3.90 (d, *J* = 6.9 Hz, 1H), 2.47 (s, 3H), 1.40 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 174.7, 171.9, 145.9, 143.8, 139.6, 134.6, 133.1, 131.0, 129.9, 129.8, 128.1, 127.9, 127.8, 126.9, 126.2, 125.8, 125.1, 113.9, 76.7, 75.5, 66.4, 61.5, 56.8, 56.7, 21.7, 14.2; **HRMS** (ESI): m/z calcd for $C_{30}H_{28}NO_6S$ $[M+H]^+$ = 530.1632, found = 530.1638; **HPLC**: The ee value was 60%, t_R (major) = 19.9 min, t_R (minor) = 12.6 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.863	31317111	51.62	397861
2	19.883	29348972	48.38	363360

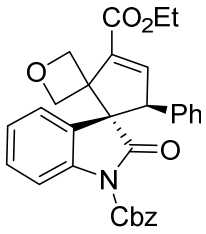
Racemic ***syn-8aa***



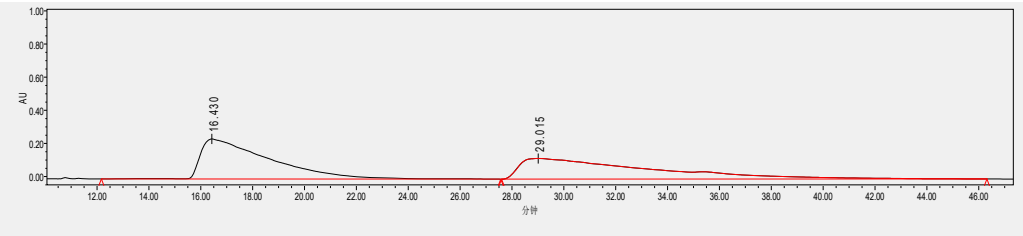
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	12.594	17343585	20.20	75359
2	19.922	68523151	79.80	309650

Enantiomerically enriched ***syn-8aa***

1-benzyl 3'-ethyl (3R,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene -1,3'-dicarboxylate ***(syn-10aa)***

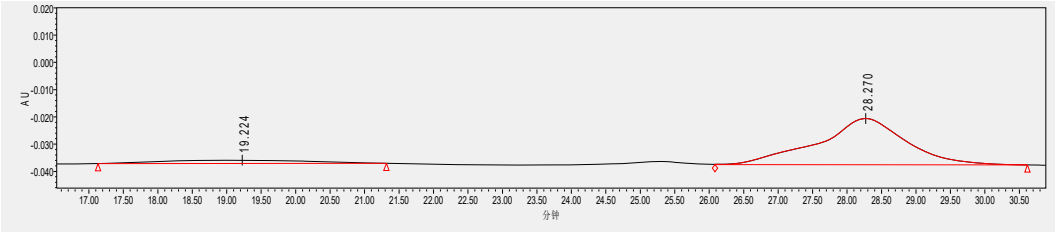


According to the general procedure to afford the mixture of two isomers (97.8 mg, 96% yield), and the title compound was further purified as a yellow liquid; $[\alpha]^{25}_{D}$ = +41.584 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 2H), 7.49 – 7.32 (m, 3H), 7.18 (d, *J* = 1.2 Hz, 1H), 7.13 (t, *J* = 7.9 Hz, 1H), 7.08 (d, *J* = 4.4 Hz, 3H), 6.88 (t, *J* = 7.3 Hz, 3H), 6.69 (d, *J* = 7.6 Hz, 1H), 5.47 (q, *J* = 12.4 Hz, 2H), 5.18 (d, *J* = 6.8 Hz, 1H), 5.00 (dd, *J* = 13.1, 6.9 Hz, 2H), 4.61 (s, 1H), 4.48 – 4.34 (m, 2H), 3.95 (d, *J* = 6.9 Hz, 1H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 175.16, 163.47, 150.38, 145.12, 139.18, 138.10, 136.13, 134.83, 128.99, 128.70, 128.53, 128.33, 128.11, 127.99, 127.65, 125.85, 124.41, 124.05, 114.97, 76.27, 75.65, 68.81, 64.60, 61.06, 58.48, 57.72, 14.27; **HRMS** (ESI): m/z calcd for $C_{31}H_{28}NO_6$ $[M+H]^+$ = 510.1911, found = 510.1919; **HPLC**: The ee value was 78%, t_R (major) = 28.3 min, t_R (minor) = 19.2 min (Chiralpak IE 3, λ = 254 nm, 13% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	16.430	44521843	50.64	241725
2	29.015	43391353	49.36	124216

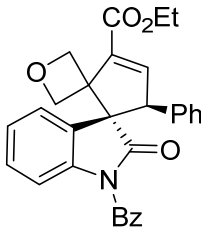
Racemic ***syn-10aa***



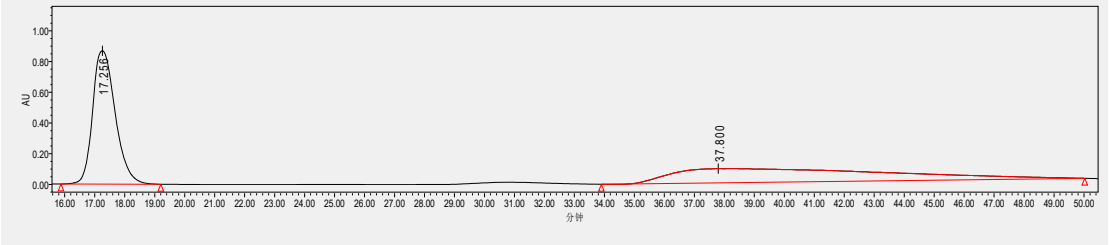
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	19.224	181540	10.81	1216
2	28.270	1498163	89.19	16948

Enantiomerically enriched ***syn-10aa***

Ethyl (3R,5'S)-1-benzoyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-12aa)***

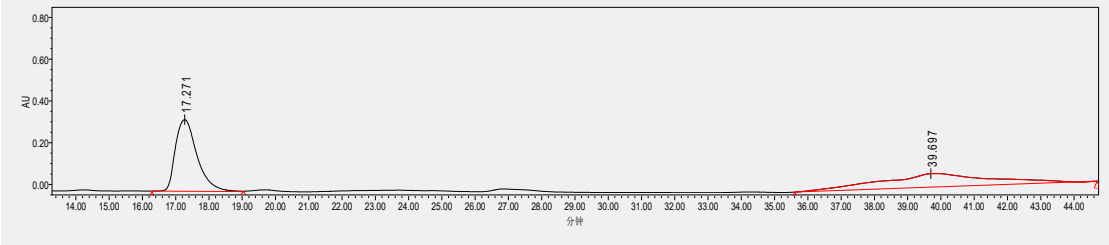


According to the general procedure to afford the mixture of two isomers (43.1 mg, 45% yield), and the title compound was further purified as a yellow solid; M.p. 75 – 78 °C; $[\alpha]^{25}_{D}$ = +11.500 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 – 7.84 (m, 2H), 7.64 (dd, *J* = 16.1, 7.8 Hz, 2H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.23 (d, *J* = 2.1 Hz, 1H), 7.21 – 7.15 (m, 1H), 7.13 (t, *J* = 6.1 Hz, 3H), 7.00 – 6.88 (m, 4H), 5.20 (d, *J* = 7.0 Hz, 1H), 5.13 (d, *J* = 6.7 Hz, 1H), 5.02 (d, *J* = 6.8 Hz, 1H), 4.65 (d, *J* = 1.9 Hz, 1H), 4.49 – 4.31 (m, 2H), 3.93 (d, *J* = 7.0 Hz, 1H), 1.43 (t, *J* = 7.1 Hz, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.61, 169.10, 163.50, 145.75, 140.08, 137.20, 136.22, 133.96, 133.11, 129.52, 129.02, 128.45, 128.42, 128.05, 127.68, 126.58, 124.40, 124.26, 114.50, 75.93, 75.40, 65.30, 61.09, 59.70, 56.33, 14.26; **HRMS** (ESI): m/z calcd for $C_{30}H_{25}NO_5$ $[M+H]^+$ =480.1805, found =480.1803. **HPLC**: The ee value was 8%, t_R (major) = 17.3 min, t_R (minor) = 39.7 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	17.256	45417154	49.89	868245
2	37.800	45625824	50.11	92617

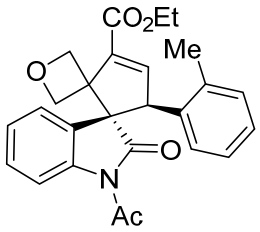
Racemic ***syn-12aa***



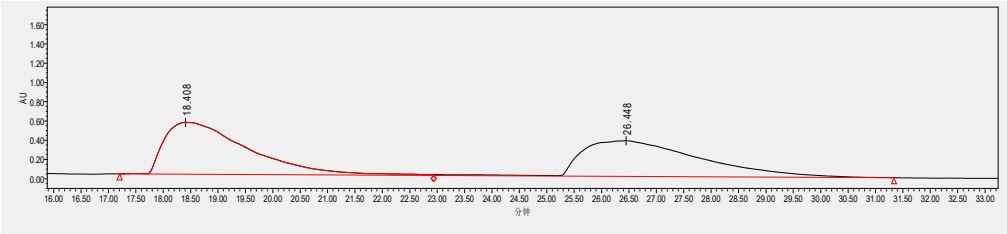
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	17.271	15508093	51.53	342798
2	39.697	14588214	48.47	64430

Enantiomerically enriched ***syn-12aa***

Ethyl (3R,5'S)-1-acetyl-2-oxo-5'-(o-tolyl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ab)***

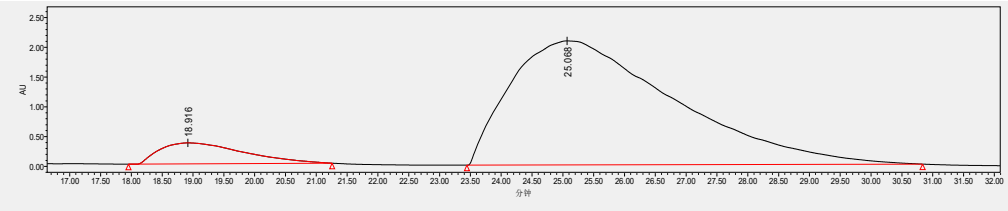


According to the general procedure to afford the mixture of two isomers (70.7 mg, 76% yield), and the title compound was further purified as a white solid; M.p. 133.1 – 140.5 °C; $[\alpha]^{25}_{D}$ = +323.176 (c 0.23, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (d, *J* = 8.2 Hz, 1H), 8.13 (d, *J* = 8.2 Hz, 1H), 7.35 (s, 1H), 7.24 (d, *J* = 7.9 Hz, 1H), 7.16 – 7.09 (m, 3H), 7.06 (d, *J* = 2.3 Hz, 1H), 6.99 – 6.93 (m, 1H), 6.93 – 6.87 (m, 1H), 6.83 (t, *J* = 7.6 Hz, 1H), 6.73 – 6.64 (m, 1H), 6.34 (d, *J* = 8.5 Hz, 1H), 5.99 (d, *J* = 7.7 Hz, 1H), 5.67 (t, *J* = 7.2 Hz, 1H), 5.34 (t, *J* = 6.3 Hz, 1H), 5.16 (d, *J* = 6.8 Hz, 1H), 5.03 (t, *J* = 5.4 Hz, 1H), 4.92 (d, *J* = 6.8 Hz, 1H), 4.67 (s, 1H), 4.55 (dd, *J* = 7.9, 4.7 Hz, 2H), 4.49 (d, *J* = 6.8 Hz, 1H), 4.42 (dt, *J* = 14.3, 5.4 Hz, 4H), 2.70 (d, *J* = 5.7 Hz, 4H), 1.42 (t, *J* = 7.0 Hz, 4H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 178.8, 170.4, 163.4, 145.3, 140.4, 138.4, 137.4, 134.8, 130.8, 129.1, 128.0, 127.7, 126.1, 125.9, 124.8, 124.3, 116.1, 76.7, 75.9, 62.7, 60.9, 57.6, 53.3, 26.9, 19.1, 14.2; **HRMS** (ESI): m/z calcd for $C_{26}H_{26}NO_5$ $[M+H]^+$ = 432.1805, found = 432.1811; **HPLC**: The ee value was 84%, t_R (major) = 25.1 min, t_R (minor) = 18.9 min (Chiralpak ID 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	18.408	57186862	50.70	538616
2	26.448	55618626	49.30	369719

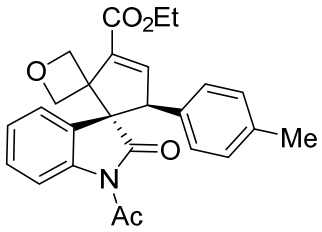
Racemic ***syn*-6ab**



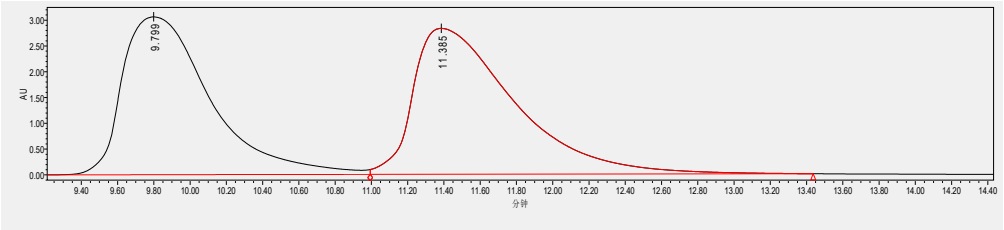
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	18.916	33477362	7.95	353184
2	25.068	387496043	92.05	2084001

Enantiomerically enriched ***syn*-6ab**

Ethyl (3R,5'S)-1-acetyl-2-oxo-5'-(p-tolyl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ac)***

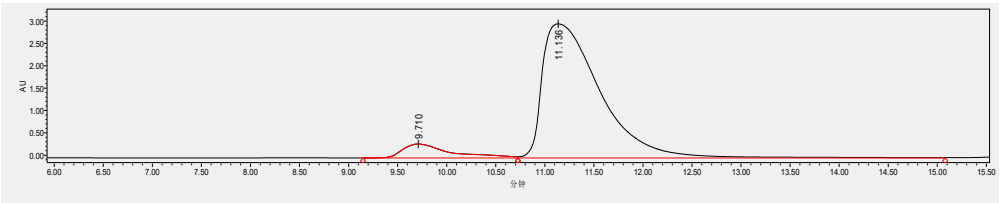


According to the general procedure to afford the mixture of two isomers (52.3 mg, 61% yield), and the title compound was further purified as a white solid; M.p. 143.9 – 149.6 °C; $[\alpha]^{25}_{D}$ = +181.070 (c 0.24, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.08 (d, J = 8.2 Hz, 1H), 7.19 – 7.13 (m, 2H), 6.97 – 6.87 (m, 3H), 6.80 – 6.73 (m, 3H), 5.13 (d, J = 6.7 Hz, 1H), 5.04 (d, J = 7.0 Hz, 1H), 4.98 (d, J = 6.7 Hz, 1H), 4.57 (d, J = 2.2 Hz, 1H), 4.41 (qd, J = 7.1, 5.1 Hz, 2H), 3.88 (d, J = 6.9 Hz, 1H), 2.76 (s, 3H), 2.19 (s, 3H), 1.42 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, CDCl3): δ 177.95, 170.66, 163.58, 145.73, 140.10, 137.75, 137.52, 132.98, 129.17, 129.06, 127.90, 126.22, 124.56, 124.22, 116.38, 76.33, 75.54, 64.85, 61.15, 58.92, 57.32, 27.06, 21.04, 14.35; **HRMS** (ESI): m/z calcd for $C_{26}H_{26}NO_5$ $[M+H]^+$ = 432.1805, found = 432.1812; **HPLC**: The ee value was 84%, t_R (major) = 11.1 min, t_R (minor) = 9.7 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.799	103059717	48.47	3061244
2	11.385	109583633	51.53	2830035

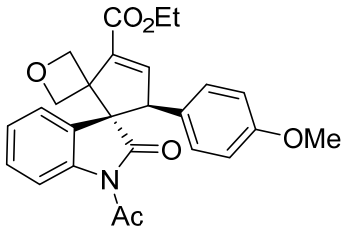
Racemic ***syn*-6ac**



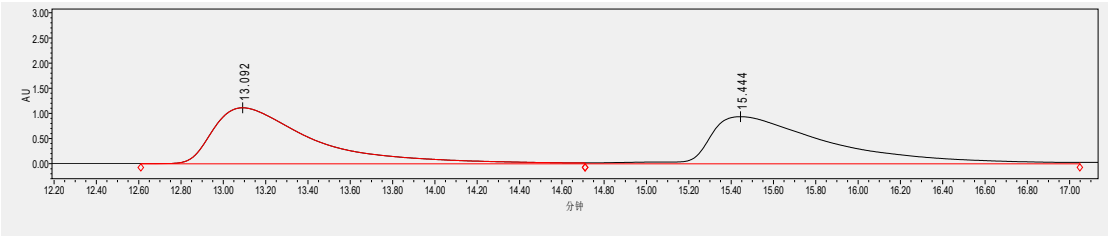
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.710	10568909	7.91	310805
2	11.136	123126037	92.09	2999805

Enantiomerically enriched ***syn*-6ac**

Ethyl (3R,5'S)-1-acetyl-5'-(4-methoxyphenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxeta n]-3'-ene-3'-carboxylate ***(syn-6ad)***

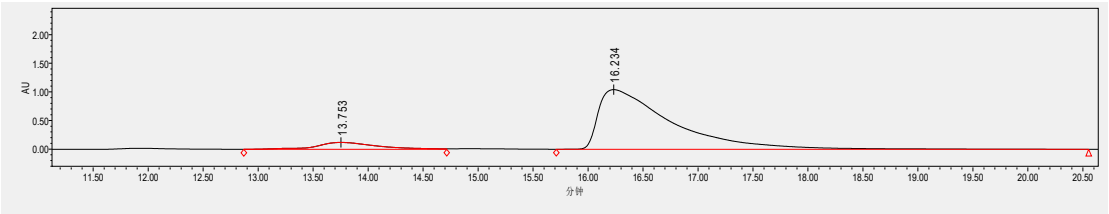


According to the general procedure to afford the mixture of two isomers (60.5 mg, 68% yield), and the title compound was further purified as a white solid; M.p. 146.5 – 151.3 °C; $[\alpha]^{25}_{D}$ = -103.318 (c 0.21, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.2 Hz, 1H), 7.20 – 7.12 (m, 2H), 6.93 (t, *J* = 7.6 Hz, 1H), 6.79 (d, *J* = 8.3 Hz, 2H), 6.75 (d, *J* = 7.6 Hz, 1H), 6.63 (d, *J* = 8.4 Hz, 2H), 5.11 (d, *J* = 6.7 Hz, 1H), 5.04 (d, *J* = 6.9 Hz, 1H), 4.98 (d, *J* = 6.7 Hz, 1H), 4.54 (s, 1H), 4.49 – 4.33 (m, 2H), 3.90 (d, *J* = 6.9 Hz, 1H), 3.68 (s, 3H), 2.76 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.9, 170.5, 163.5, 158.9, 145.7, 140.0, 137.6, 129.1, 129.0, 127.9, 126.1, 124.5, 124.2, 116.3, 113.8, 76.3, 75.5, 64.8, 61.1, 58.7, 56.9, 55.1, 26.9, 14.3 (cm^{-1}); **HRMS** (ESI): m/z calcd for $C_{26}H_{26}NO_6$ $[M+H]^+$ = 448.1755, found = 448.1759; **HPLC**: The ee value was 82%, t_R (major) = 16.2 min, t_R (minor) = 13.8 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	13.092	36658899	49.92	1114561
2	15.444	36780674	50.08	936904

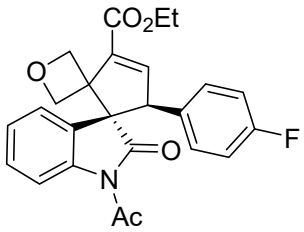
Racemic ***syn*-6ad**



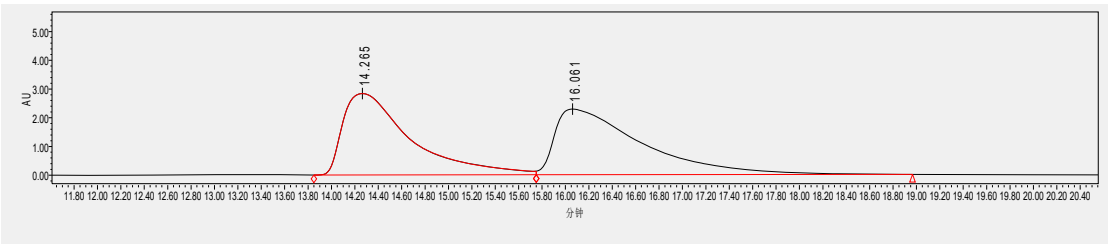
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	13.753	4680620	8.85	120794
2	16.234	48234645	91.15	1043259

Enantiomerically enriched ***syn*-6ad**

Ethyl (3R,5'S)-1-acetyl-5'-(4-fluorophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ae)***

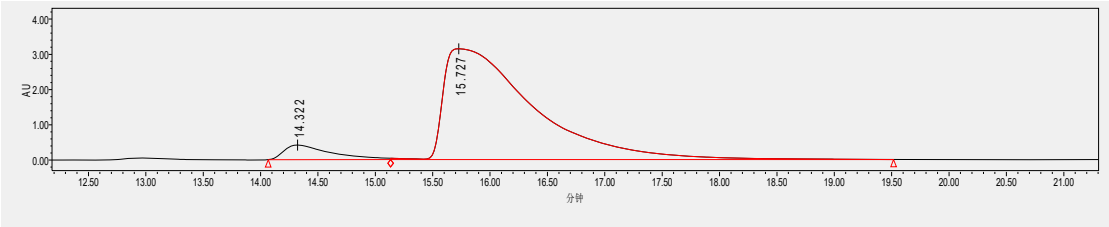


According to the general procedure to afford the mixture of two isomers (90.2 mg, 98% yield), and the title compound was further purified as a white solid; M.p. 164.3 – 166.1 °C; **[α]$^{25}_{D}$** = +236.279 (c 0.22, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.2 Hz, 1H), 7.16 (d, *J* = 9.1 Hz, 2H), 6.94 (s, 1H), 6.86 (t, *J* = 6.9 Hz, 2H), 6.79 (t, *J* = 7.7 Hz, 3H), 5.16 (d, *J* = 6.8 Hz, 1H), 5.05 (d, *J* = 7.0 Hz, 1H), 4.97 (d, *J* = 6.8 Hz, 1H), 4.60 (s, 1H), 4.48 – 4.29 (m, 2H), 3.84 (d, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.6, 170.5, 163.3, 162.0 (d, $J_{C\text{-}F}$ = 247.3 Hz), 144.9, 140.0, 138.0, 131.8 (d, $J_{C\text{-}F}$ = 3.2 Hz), 129.4 (d, $J_{C\text{-}F}$ = 8.1 Hz), 129.5 (d, *J* = 8.1 Hz), 129.2, 125.9, 124.6, 123.8, 116.4, 115.4 (d, $J_{C\text{-}F}$ = 21.5 Hz), 76.1, 75.4, 64.8, 61.2, 58.9, 56.8, 26.9, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}FNO_5$ $[M+H]^+$ = 436.1555, found = 436.1556; **HPLC**: The ee value was 87%, t_R (major) = 15.7 min, t_R (minor) = 14.3 min (Chiralpak IA 3, λ = 254 nm, 7% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	14.265	113952278	49.01	2836227
2	16.061	118563003	50.99	2287401

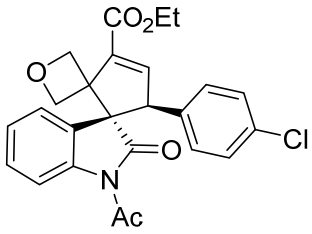
Racemic ***syn*-6ae**



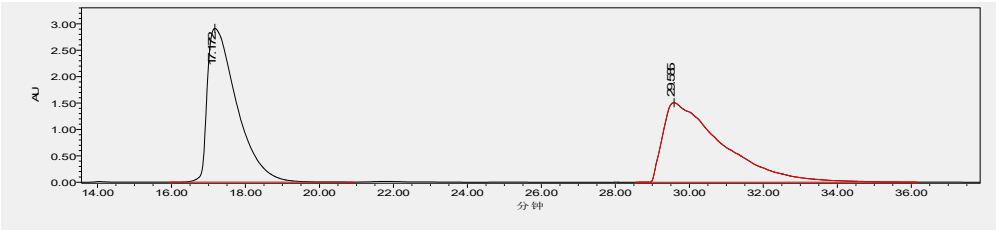
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	14.322	11829066	6.46	415214
2	15.727	171336798	93.54	3147112

Enantiomerically enriched ***syn*-6ae**

Ethyl (3R,5'S)-1-acetyl-5'-(4-chlorophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6af)***

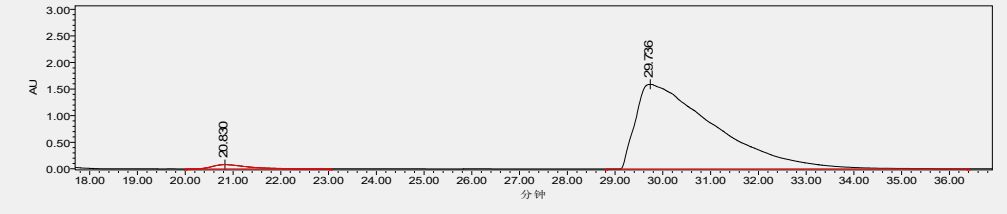


According to the general procedure to afford the mixture of two isomers (87.2 mg, 95% yield), and the title compound was further purified as a white solid; M.p. 175.6 – 181.7 ºC; $[\alpha]^{25}_{D}$ = +263.745 (c 0.25, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 8.2 Hz, 1H), 7.40 (t, *J* = 7.9 Hz, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.09 (d, *J* = 8.3 Hz, 2H), 7.04 (d, *J* = 7.5 Hz, 1H), 6.77 (d, *J* = 8.3 Hz, 2H), 6.46 (s, 1H), 4.78 (d, *J* = 7.0 Hz, 1H), 4.60 (d, *J* = 6.9 Hz, 1H), 4.45 (d, *J* = 7.6 Hz, 1H), 4.39 (d, *J* = 9.1 Hz, 2H), 4.33 (q, *J* = 7.1 Hz, 2H), 2.68 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 176.9, 171.7, 170.4, 143.1, 140.3, 134.1, 131.8, 130.9, 129.7, 128.7, 127.4, 126.4, 125.9, 125.6, 117.0, 78.2, 75.5, 66.9, 61.6, 57.5, 57.0, 26.7, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}ClNO_5$ $[M^*+H]^+$ = 452.1259, found = 452.1259, $[M+H]^+$ = 454.1259, found = 454.1241; **HPLC**: The ee value was 96%, t_R (major) = 29.7 min, t_R (minor) = 20.8 min (Chiralpak IE 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	17.172	161162647	49.11	2913585
2	29.585	166982315	50.89	1504667

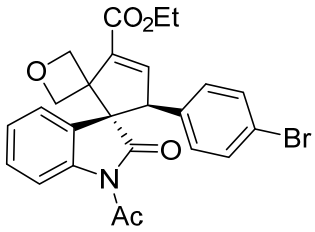
Racemic ***syn*-6af**



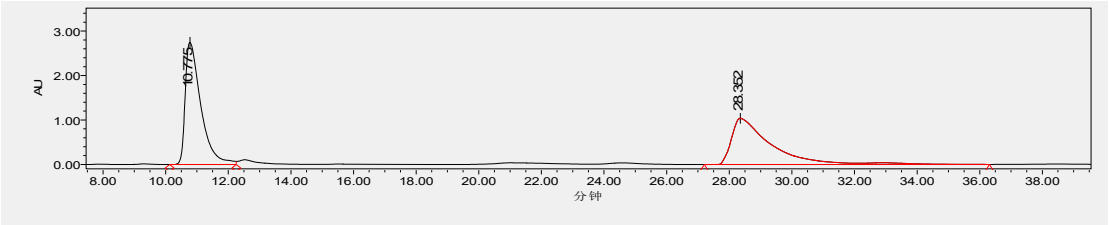
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	20.830	3992319	2.15	79362
2	29.736	181737325	97.85	1590193

Enantiomerically enriched ***syn*-6af**

Ethyl (3R,5'S)-1-acetyl-5'-(4-bromophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ag)***

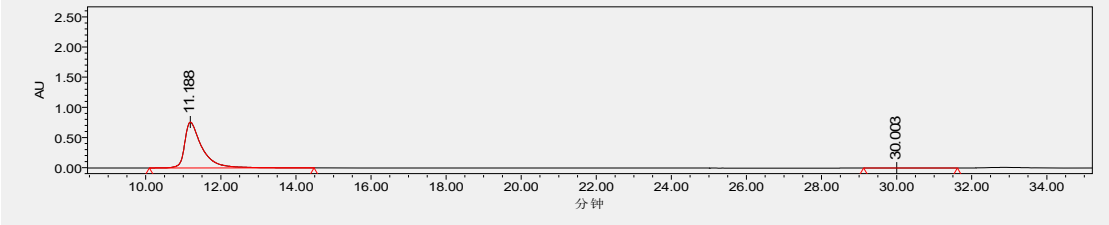


According to the general procedure to afford the mixture of two isomers (97.1 mg, 95% yield), and the title compound was further purified as a white solid; M.p. 136.1 – 138.7 °C; $[\alpha]^{25}_{D}$ = +264.898 (c 0.25, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.2 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 2H), 7.18 (t, *J* = 7.9 Hz, 1H), 7.13 (s, 1H), 6.95 (t, *J* = 7.6 Hz, 1H), 6.79 (dd, *J* = 13.9, 7.7 Hz, 3H), 5.16 (d, *J* = 6.7 Hz, 1H), 5.04 (d, *J* = 7.0 Hz, 1H), 4.96 (d, *J* = 6.8 Hz, 1H), 4.58 (s, 1H), 4.39 (dd, *J* = 13.8, 6.8 Hz, 2H), 3.81 (d, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.5, 170.4, 163.3, 144.5, 139.9, 138.2, 135.1, 131.5, 129.5, 129.3, 125.7, 124.6, 123.7, 121.7, 116.5, 76.1, 75.3, 64.7, 61.2, 59.1, 56.8, 26.9, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}BrNO_5$ $[M+H]^+$ = 496.0754, found = 496.0755, $[M^*+H]^+$ = 498.0754, found = 498.0738; **HPLC**: The ee value was 99%, t_R (major) = 11.2 min, t_R (minor) = 30.0 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.775	95149219	50.99	2748269
2	28.352	91455263	49.01	1039614

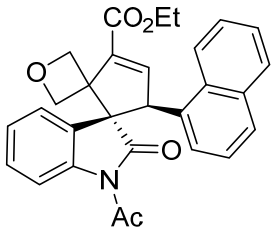
Racemic ***syn*-6ag**



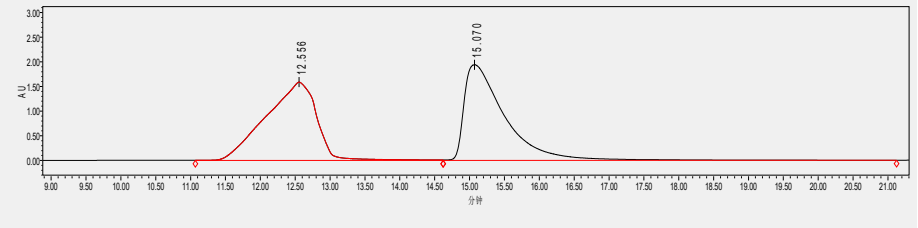
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	11.188	24411800	99.58	761509
2	30.003	101878	0.42	1483

Enantiomerically enriched ***syn*-6ag**

Ethyl (3R,5'S)-1-acetyl-5'-(naphthalen-1-yl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ah)***

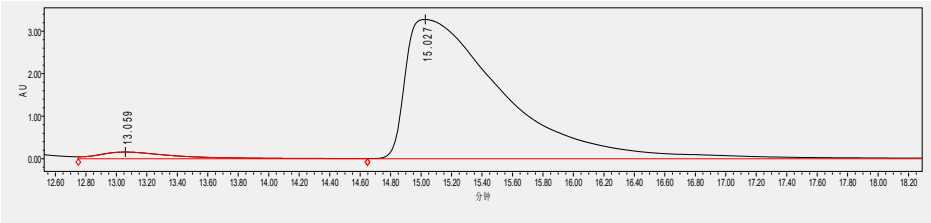


According to the general procedure to afford the mixture of two isomers (66.4 mg, 72% yield), and the title compound was further purified as a white solid; M.p. 181.4 – 193.2 °C; $[\alpha]^{25}_{D}$ = +152.915 (c 0.22, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.3 Hz, 1H), 7.78 – 7.63 (m, 3H), 7.38 (dd, *J* = 13.4, 7.3 Hz, 2H), 7.26 (d, *J* = 8.9 Hz, 1H), 7.16 (d, *J* = 7.2 Hz, 1H), 7.03 (t, *J* = 7.9 Hz, 1H), 6.75 (t, *J* = 7.6 Hz, 1H), 6.50 (d, *J* = 7.7 Hz, 1H), 5.38 (s, 1H), 5.16 (dd, *J* = 19.0, 6.8 Hz, 2H), 5.07 (d, *J* = 6.9 Hz, 1H), 4.58 – 4.41 (m, 2H), 4.08 (d, *J* = 6.9 Hz, 1H), 2.73 (d, *J* = 1.2 Hz, 3H), 1.54 – 1.45 (m, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 178.4, 170.3, 163.4, 146.1, 139.9, 138.4, 133.5, 132.6, 131.6, 128.8, 128.6, 126.8, 126.2, 125.8, 125.7, 124.6, 124.5, 124.1, 122.5, 116.2, 76.6, 75.9, 63.8, 61.1, 58.5, 53.2, 26.9, 14.3; **HRMS** (ESI): m/z calcd for $C_{29}H_{26}NO_5$ $[M+H]^+$ = 468.1805, found = 468.1812; **HPLC**: The ee value was 92%, t_R (major) = 15.0 min, t_R (minor) = 13.1 min (Chiralpak IA, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	12.556	80337537	50.47	1587466
2	15.070	78841236	49.53	1939848

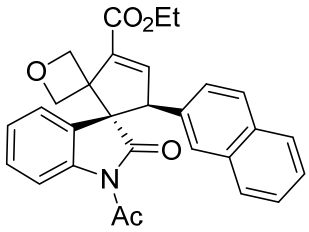
Racemic ***syn*-6ah**



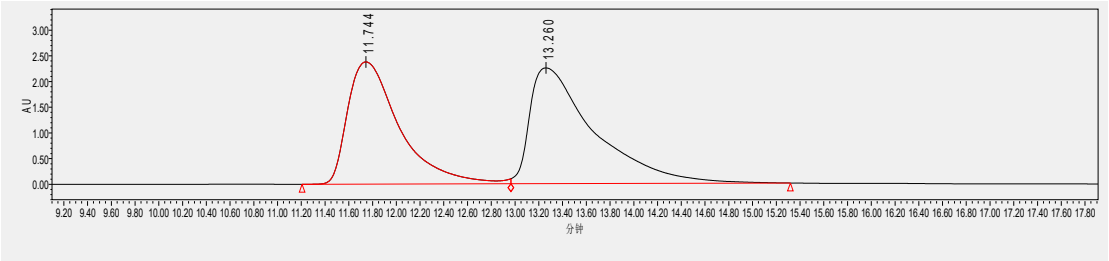
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	13.059	5528910	3.62	157158
2	15.027	147160681	96.38	3279429

Enantiomerically enriched ***syn*-6ah**

Ethyl (3R,5'S)-1-acetyl-5'-(naphthalen-2-yl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ai)***

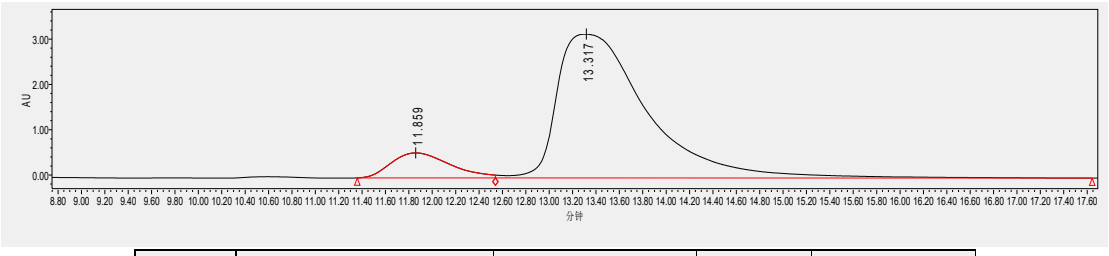


According to the general procedure to afford the mixture of two isomers (68.1 mg, 73% yield), and the title compound was further purified as a white solid; M.p. 159.6 – 166.2 °C; $[\alpha]^{25}_{D}$ = +80.100 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.2 Hz, 1H), 7.72 (t, *J* = 7.7 Hz, 2H), 7.61 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.40 (m, 3H), 7.35 (s, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 6.99 (d, *J* = 8.4 Hz, 1H), 6.87 (dd, *J* = 11.5, 7.4 Hz, 2H), 5.21 (d, *J* = 6.8 Hz, 1H), 5.12 (d, *J* = 7.0 Hz, 1H), 5.06 (d, *J* = 6.8 Hz, 1H), 4.83 (s, 1H), 4.55 – 4.41 (m, 2H), 3.93 (d, *J* = 7.0 Hz, 1H), 2.83 (s, 3H), 1.49 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.8, 170.5, 163.5, 145.3, 139.9, 137.9, 133.6, 132.9, 132.5, 129.1, 128.2, 127.6, 127.5, 126.9, 126.3, 126.1, 125.9, 125.7, 124.5, 124.0, 116.4, 76.1, 75.5, 64.7, 61.2, 59.1, 57.5, 27.0, 14.3; **HRMS** (ESI): m/z calcd for $C_{29}H_{26}NO_5$ $[M+H]^+$ = 468.1805, found = 468.1813; **HPLC**: The ee value was 80%, t_R (major) = 13.3 min, t_R (minor) = 11.9 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	11.744	72277677	46.08	2377521
2	13.260	84565474	53.92	2252333

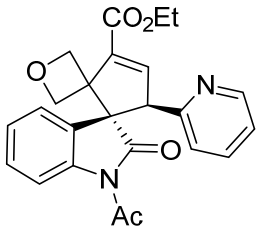
Racemic ***syn*-6ai**



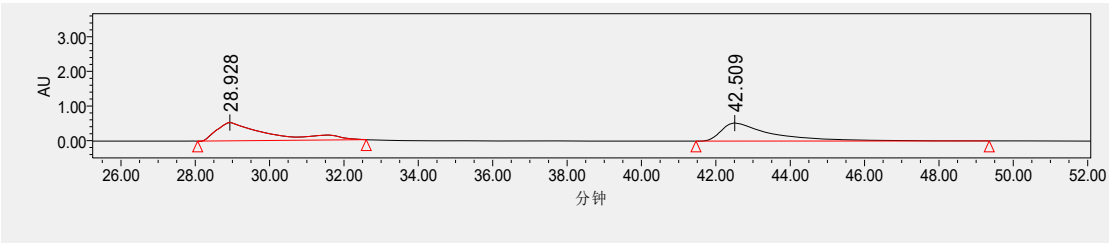
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	11.859	19277935	10.20	551743
2	13.317	169747531	89.80	3175480

Enantiomerically enriched ***syn*-6ai**

Ethyl (3R,5'R)-1-acetyl-2-oxo-5'-(pyridin-2-yl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6aj)***

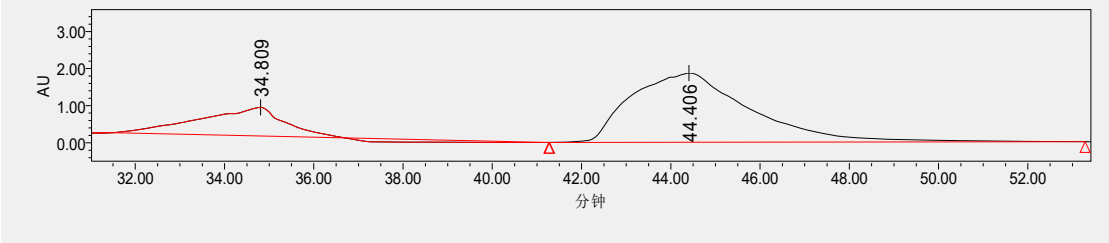


According to the general procedure to afford the mixture of two isomers (78.6 mg, 93% yield), and the title compound was further purified as a white solid; M.p. 125.6 – 129.4 °C; $[\alpha]^{25}_{D}$ = -5.941 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.2 Hz, 1H), 8.33 (d, *J* = 8.2 Hz, 1H), 8.19 (t, *J* = 6.4 Hz, 1H), 8.12 (d, *J* = 4.7 Hz, 1H), 7.61 (dd, *J* = 15.9, 7.8 Hz, 1H), 7.54 (q, *J* = 7.7 Hz, 2H), 7.32 (d, *J* = 7.3 Hz, 1H), 7.06 (q, *J* = 7.1 Hz, 2H), 6.99 (t, *J* = 5.8 Hz, 1H), 6.80 (d, *J* = 7.6 Hz, 1H), 6.71 (s, 1H), 5.13 (t, *J* = 6.2 Hz, 1H), 4.82 (d, *J* = 7.0 Hz, 1H), 4.75 (d, *J* = 7.0 Hz, 1H), 4.67 (d, *J* = 7.1 Hz, 1H), 4.54 (d, *J* = 7.8 Hz, 1H), 4.48 (s, 1H), 4.42 – 4.38 (m, 2H), 4.36 (d, *J* = 7.1 Hz, 1H), 4.31 (d, *J* = 7.4 Hz, 1H), 3.91 (t, *J* = 6.4 Hz, 1H), 2.76 (s, 3H), 1.41 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.8, 171.8, 171.1, 151.1, 148.5, 145.9, 141.1, 135.9, 130.8, 129.1, 128.1, 124.2, 122.6, 121.5, 120.5, 116.7, 80.1, 75.7, 66.1, 61.5, 59.9, 55.3, 26.8, 14.2; **HRMS** (ESI): m/z calcd for $C_{24}H_{23}N_2O_5$ $[M+H]^+$ = 419.1601, found = 419.1609; **HPLC**: The ee value was 50%, t_R (major) = 44.4 min, t_R (minor) = 34.8 min (Chiralpak IE 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	28.928	50361321	50.94	526578
2	42.509	48494140	49.06	517374

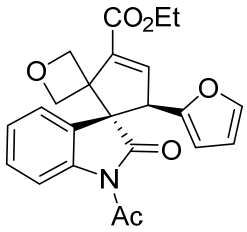
Racemic ***syn*-6aj**



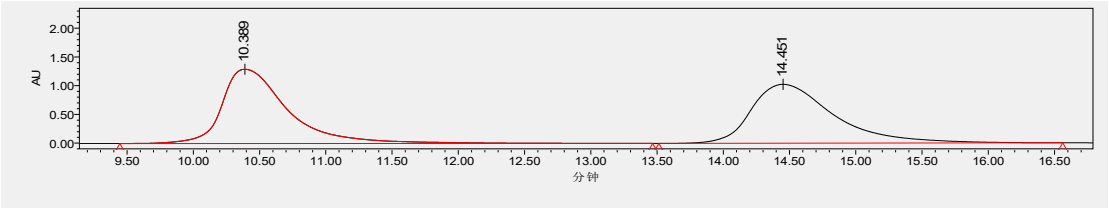
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	34.809	115411888	24.95	773441
2	44.406	347204896	75.05	1870236

Enantiomerically enriched ***syn*-6aj**

Ethyl (3R,5'R)-1-acetyl-5'-(furan-2-yl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ak)***

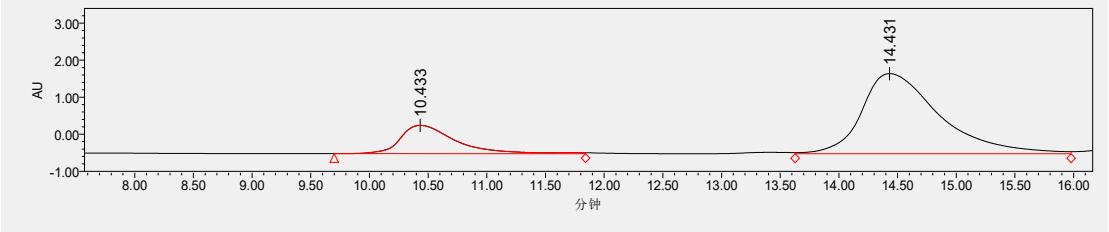


According to the general procedure to afford the mixture of two isomers (77.4 mg, 95% yield), and the title compound was further purified as white solid; M.p. 144.9 – 148.1°C; $[\alpha]^{25}_{D}$ = +58.654 (c 0.21, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 7.9 Hz, 1H), 7.09 (d, *J* = 9.6 Hz, 2H), 6.96 (t, *J* = 7.6 Hz, 1H), 6.67 (d, *J* = 7.6 Hz, 1H), 6.12 (s, 1H), 5.97 (d, *J* = 2.6 Hz, 1H), 5.05 – 4.90 (m, 3H), 4.57 (s, 1H), 4.39 (dd, *J* = 7.0, 4.1 Hz, 2H), 4.00 (d, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 1.41 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.4, 170.6, 163.3, 149.8, 142.3, 142.2, 140.2, 137.8, 129.2, 125.8, 124.7, 123.9, 116.3, 110.3, 108.1, 76.1, 75.5, 63.1, 61.2, 58.7, 51.1, 26.9, 14.2; **HRMS** (ESI): m/z calcd for $C_{23}H_{22}NO_6$ $[M+H]^+$ = 408.1442, found = 408.1443; **HPLC**: The ee value was 60%, t_R (major) = 14.4 min, t_R (minor) = 10.4 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.389	44621421	50.15	1293213
2	14.451	44362818	49.85	1025184

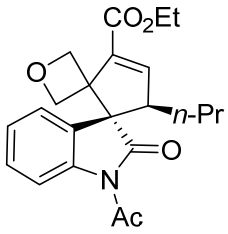
Racemic ***syn*-6ak**



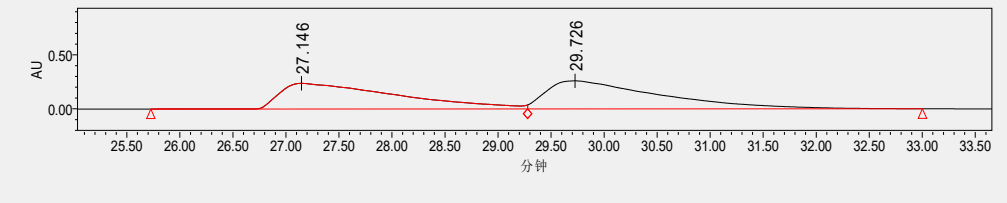
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.433	25197625	20.07	764072
2	14.431	100362535	79.93	2163793

Enantiomerically enriched ***syn*-6ak**

Ethyl (3R,5'R)-1-acetyl-2-oxo-5'-propyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate (syn-6al)

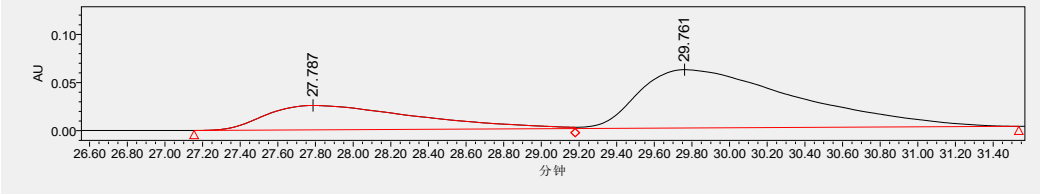


According to the general procedure to afford the mixture of two isomers (74.0 mg, 96% yield), and the title compound was further purified as a white solid; M.p. 135.8 – 139.8 °C; $[\alpha]^{25}_{D}$ = +13.364 (c 0.22, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.2 Hz, 1H), 7.33 (dd, *J* = 12.0, 4.7 Hz, 1H), 7.08 (dt, *J* = 14.6, 7.4 Hz, 2H), 6.93 (d, *J* = 1.7 Hz, 1H), 5.11 (d, *J* = 6.8 Hz, 1H), 4.97 (d, *J* = 7.0 Hz, 1H), 4.82 (d, *J* = 6.8 Hz, 1H), 4.33 (qd, *J* = 7.1, 4.9 Hz, 2H), 3.59 (d, *J* = 7.0 Hz, 1H), 3.32 (t, *J* = 6.8 Hz, 1H), 2.75 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3H), 1.32 – 1.20 (m, 2H), 1.11 – 1.00 (m, 2H), 0.74 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.6, 170.8, 163.6, 147.4, 140.2, 135.4, 129.1, 126.8, 124.9, 123.1, 116.7, 75.5, 75.4, 62.8, 60.8, 59.6, 51.5, 31.5, 26.9, 20.9, 14.2, 13.8; **HRMS** (ESI): m/z calcd for $C_{22}H_{26}NO_5$ $[M+H]^+$ = 384.1805, found = 384.1808; **HPLC**: The ee value was 42%, t_R (major) = 29.8 min, t_R (minor) = 27.8 min (Chiralpak IE 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	27.146	18366360	48.77	237628
2	29.726	19293631	51.23	259725

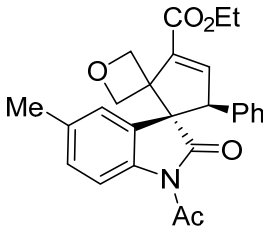
Racemic ***syn*-6al**



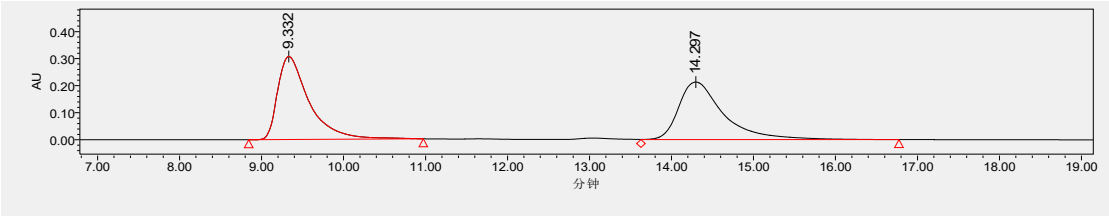
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	27.787	1425314	28.71	25444
2	29.761	3538534	71.29	60648

Enantiomerically enriched ***syn*-6al**

Ethyl (3R,5'S)-1-acetyl-5-methyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6am)***

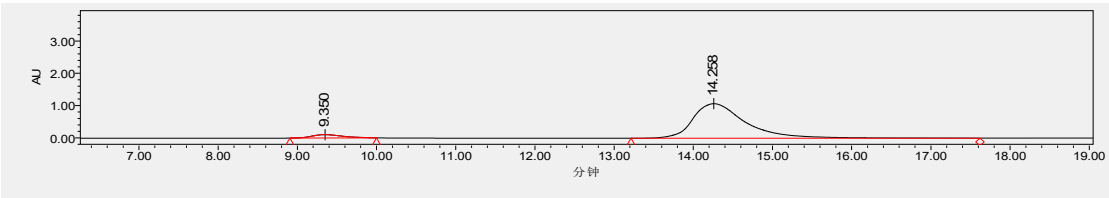


According to the general procedure to afford the mixture of two isomers (92.3 mg, 98% yield), and the title compound was further purified as a white solid; M.p. 155.3 – 158.6 °C; $[\alpha]^{25}_{D}$ = +174.000 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.3 Hz, 1H), 7.20 (d, *J* = 2.0 Hz, 1H), 7.16 – 7.08 (m, 3H), 6.94 (d, *J* = 8.3 Hz, 1H), 6.90 – 6.82 (m, 2H), 6.42 (s, 1H), 5.10 – 4.96 (m, 3H), 4.56 (d, *J* = 1.5 Hz, 1H), 4.48 – 4.38 (m, 2H), 3.95 (d, *J* = 6.9 Hz, 1H), 2.75 (s, 3H), 2.14 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 178.0, 170.4, 163.5, 145.2, 137.9, 137.7, 136.2, 134.0, 129.4, 128.3, 127.9, 127.7, 125.8, 124.7, 116.0, 76.3, 75.5, 64.6, 61.1, 58.6, 57.4, 26.9, 21.1, 14.2; **HRMS** (ESI): m/z calcd for $C_{26}H_{26}NO_5$ $[M+H]^+$ = 432.1805, found = 432.1810; **HPLC**: The ee value was 90%, t_R (major) = 14.3 min, t_R (minor) = 9.4 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.332	8200993	50.36	307077
2	14.297	8084760	49.64	212498

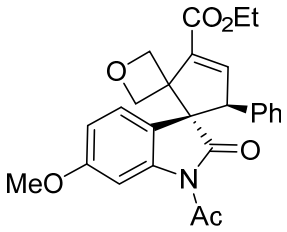
Racemic ***syn*-6am**



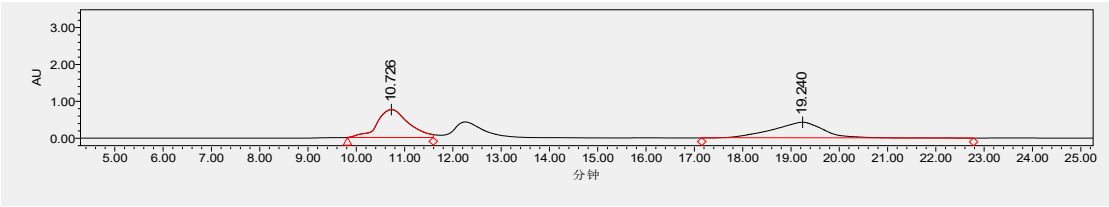
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.350	2755141	5.27	102283
2	14.258	49483245	94.73	1069403

Enantiomerically enriched ***syn*-6am**

Ethyl (3R,5'S)-1-acetyl-6-methoxy-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6an)***

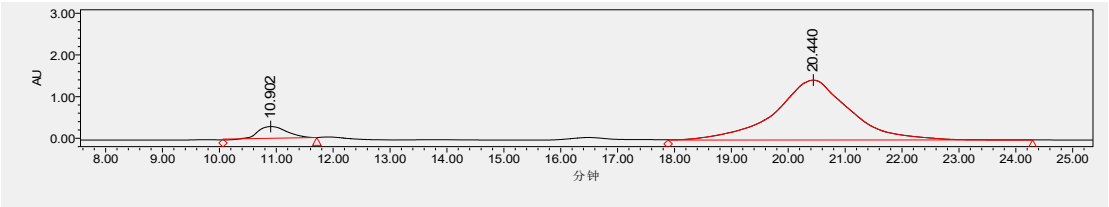


According to the general procedure to afford the mixture of two isomers (76.7 mg, 86% yield), and the title compound was further purified as a white solid; M.p. 115.4 – 119.2°C; $[\alpha]^{25}_{D}$ = +174.884 (c 0.22, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 (s, 1H), 7.18 (s, 2H), 7.13 (d, *J* = 3.2 Hz, 3H), 6.88 (d, *J* = 2.9 Hz, 2H), 6.54 (s, 1H), 6.44 (d, *J* = 8.4 Hz, 1H), 5.10 – 4.93 (m, 3H), 4.53 (s, 1H), 4.45 – 4.34 (m, 2H), 3.95 (d, *J* = 6.9 Hz, 1H), 3.72 (s, 3H), 2.75 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 178.2, 170.4, 163.3, 159.7, 145.1, 140.9, 137.6, 136.0, 128.2, 127.8, 127.5, 124.7, 117.3, 110.3, 102.2, 76.0, 75.2, 64.1, 60.8, 58.5, 57.1, 55.2, 26.8, 14.1; **HRMS** (ESI): m/z calcd for $C_{26}H_{26}NO_6$ $[M+H]^+$ = 448.1755, found = 448.1758; **HPLC**: The ee value was 86%, t_R (major) = 20.4 min, t_R (minor) = 10.9 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.726	34192145	52.37	754131
2	19.240	31100200	47.63	423200

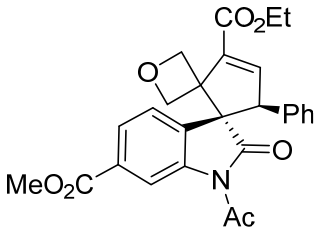
Racemic ***syn*-6an**



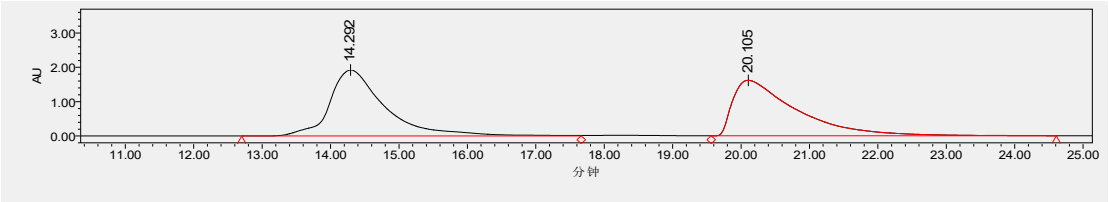
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.902	10090653	7.14	281151
2	20.440	131213802	92.86	1441470

Enantiomerically enriched ***syn*-6an**

3'-ethyl 6-methyl (3R,5'S)-1-acetyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3',6-dicarboxylate ***(syn-6ao)***

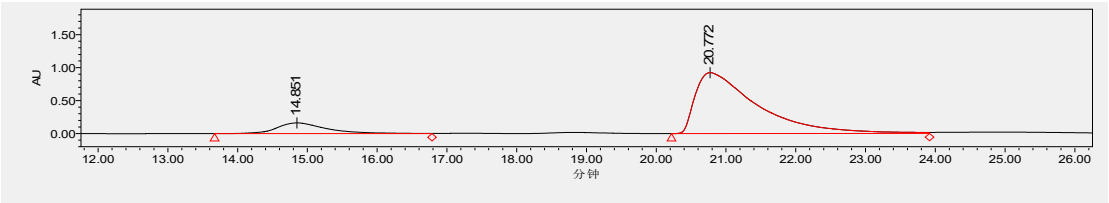


According to the general procedure to afford the mixture of two isomers (101.3 mg, 99% yield), and the title compound was further purified as a white solid; M.p. 179.3 – 185.5 °C; **[α]$^{25}_{D}$** = +35.341 (c 0.25, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.65 (d, *J* = 7.9 Hz, 1H), 7.21 (d, *J* = 1.5 Hz, 1H), 7.16 – 7.05 (m, 3H), 6.87 (d, *J* = 8.2 Hz, 3H), 5.16 (d, *J* = 6.8 Hz, 1H), 5.07 (d, *J* = 7.0 Hz, 1H), 4.99 (d, *J* = 6.9 Hz, 1H), 4.66 (s, 1H), 4.48 – 4.32 (m, 2H), 3.85 (s, 3H), 3.80 (d, *J* = 7.0 Hz, 1H), 2.79 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 179.3, 175.7, 163.5, 150.4, 139.2, 136.1, 132.3, 132.2, 130.7, 129.4, 124.3, 76.0, 75.4, 64.8, 61.2, 59.3, 57.5, 52.3, 26.9, 14.2; **HRMS** (ESI): m/z calcd for $C_{27}H_{26}NO_7$ $[M+H]^+$ = 476.1704, found = 476.1709; **HPLC**: The ee value was 75%, t_R (major) = 20.8 min, t_R (minor) = 14.8 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	14.292	108257439	50.86	1923281
2	20.105	104600581	49.14	1616719

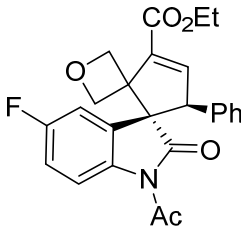
Racemic ***syn*-6ao**



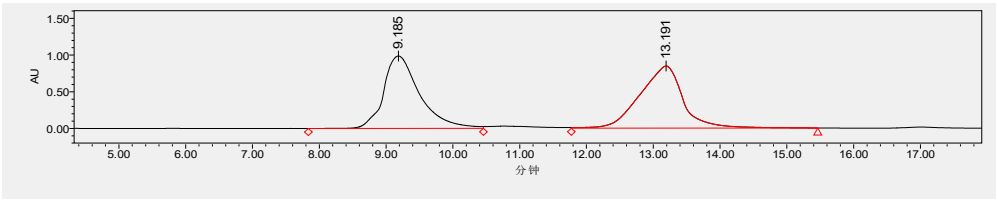
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	14.851	8123997	12.41	162825
2	20.772	57313466	87.59	923957

Enantiomerically enriched ***syn*-6ao**

Ethyl (3R,5'S)-1-acetyl-5-fluoro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ap)***

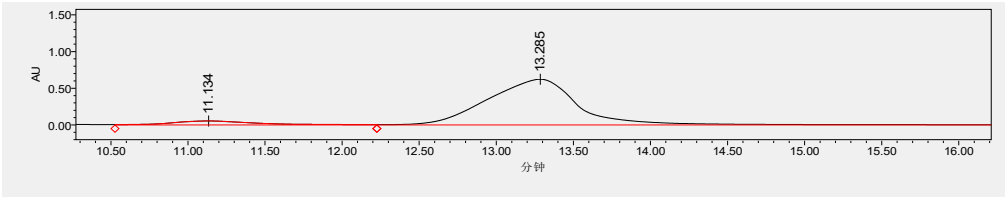


According to the general procedure to afford the mixture of two isomers (78.2 mg, 87% yield), and the title compound was further purified as a white solid; M.p. 141.3 – 143.4°C; $[\alpha]^{25}_{D}$ = +151.082 (c 0.23, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.07 (dd, *J* = 9.0, 4.8 Hz, 1H), 7.20 (d, *J* = 2.2 Hz, 1H), 7.18 – 7.11 (m, 3H), 6.90 (dd, *J* = 6.9, 2.4 Hz, 2H), 6.85 (td, *J* = 8.9, 2.7 Hz, 1H), 6.50 (dd, *J* = 8.2, 2.7 Hz, 1H), 5.15 (d, *J* = 6.8 Hz, 1H), 5.10 (d, *J* = 7.0 Hz, 1H), 4.99 (d, *J* = 6.8 Hz, 1H), 4.63 (d, *J* = 2.1 Hz, 1H), 4.41 (dd, *J* = 7.1, 5.1 Hz, 2H), 3.85 (d, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.3, 170.3, 163.2, 159.2 (d, $J_{C\text{-}F}$ = 244.6 Hz), 144.9, 137.7, 136.0, 135.6, 128.6, 128.1 (d, $J_{C\text{-}F}$ = 8.2 Hz), 127.9, 127.7, 117.7 (d, $J_{C\text{-}F}$ = 7.9 Hz), 115.7, 115.5, 111.5, 76.0, 75.3, 64.8, 61.2, 58.9, 57.4, 26.8, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}FNO_5$ $[M+H]^+$ = 436.1555, found = 436.1559; **HPLC**: The ee value was 87%, t_R (major) = 13.4 min, t_R (minor) = 10.8 min (Chiralpak IA 3, λ = 254 nm, 7% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.185	36901029	49.35	985334
2	13.191	37877709	50.65	845605

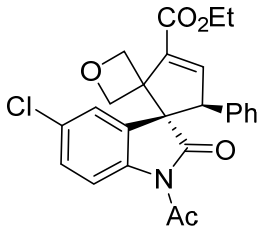
Racemic ***syn*-6ap**



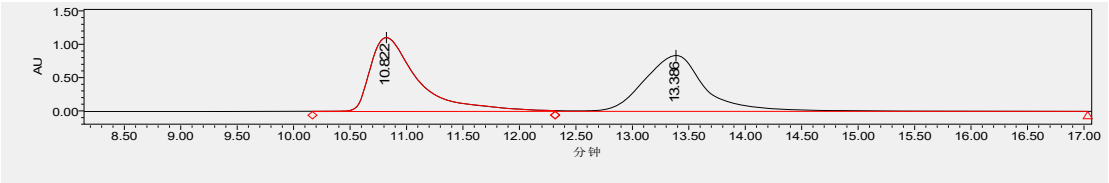
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	11.134	2086030	7.58	53926
2	13.285	25429687	92.42	622483

Enantiomerically enriched ***syn*-6ap**

Ethyl (3R,5'S)-1-acetyl-5-chloro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6aq)***

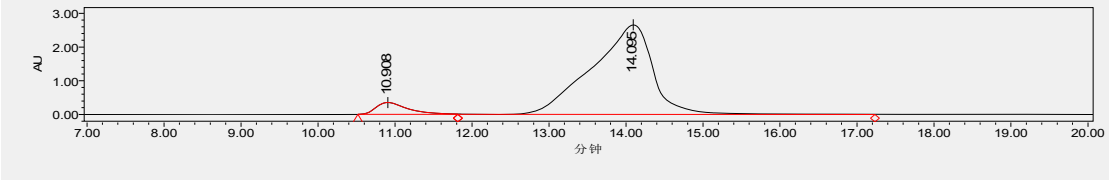


According to the general procedure to afford the mixture of two isomers (92.2 mg, 97% yield), and the title compound was further purified as a white solid; M.p. 169.7 – 173.9 °C; **[α]$^{25}_{D}$** = +110.526 (c 0.23, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 1.9 Hz, 1H), 7.19 (d, *J* = 2.2 Hz, 1H), 7.16 – 7.11 (m, 3H), 6.90 (dd, *J* = 8.2, 2.0 Hz, 1H), 6.87 (dd, *J* = 6.3, 3.1 Hz, 2H), 6.64 (d, *J* = 8.2 Hz, 1H), 5.07 (dd, *J* = 9.3, 7.0 Hz, 2H), 4.99 (d, *J* = 6.8 Hz, 1H), 4.59 (d, *J* = 2.1 Hz, 1H), 4.41 (qd, *J* = 7.1, 3.5 Hz, 2H), 3.87 (d, *J* = 7.0 Hz, 1H), 2.76 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H).; **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.4, 170.3, 163.3, 145.0, 140.7, 137.7, 135.7, 134.7, 128.6, 127.9, 127.8, 124.9, 124.6, 124.4, 116.9, 76.0, 75.3, 64.4, 61.2, 58.9, 57.3, 26.8, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}ClNO_5$ $[M+H]^+$ = 452.1259, found = 452.1259, $[M^*+H]^+$ = 454.1259, found = 452.1241; **HPLC**: The ee value was 85%, t_R (major) = 13.3 min, t_R (minor) = 11.1 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.822	32713443	50.71	1108964
2	13.386	31803165	49.29	839020

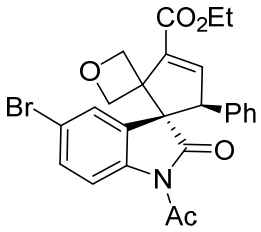
Racemic ***syn*-6aq**



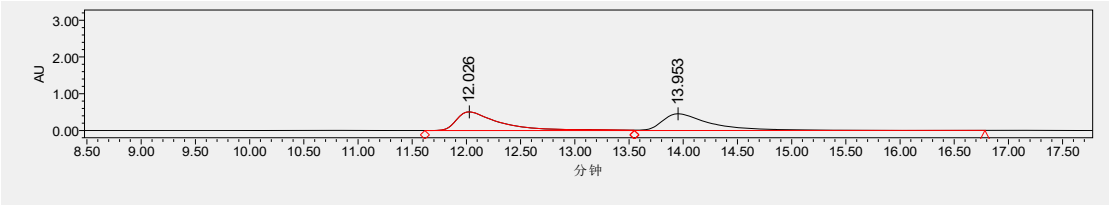
peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.908	10145672	6.49	351605
2	14.095	146227849	93.51	2659123

Enantiomerically enriched ***syn*-6aq**

Ethyl (3R,5'S)-1-acetyl-5-bromo-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(syn-6ar)***

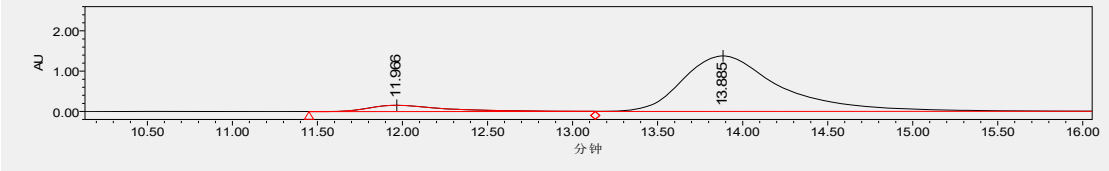


According to the general procedure to afford the mixture of two isomers (90.5 mg, 89% yield), and the title compound was further purified as a white solid; M.p. 190.1 – 193.8 °C; $[\alpha]^{25}_{D}$ = +217.978 (c 0.27, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.96 (d, *J* = 8.8 Hz, 1H), 7.31 – 7.23 (m, 2H), 7.19 (d, *J* = 1.8 Hz, 1H), 7.15 (d, *J* = 4.1 Hz, 3H), 6.93 – 6.83 (m, 2H), 6.80 (d, *J* = 1.4 Hz, 1H), 5.10 (dd, *J* = 6.8, 3.0 Hz, 2H), 5.00 (d, *J* = 6.8 Hz, 1H), 4.60 (d, *J* = 1.3 Hz, 1H), 4.50 – 4.32 (m, 2H), 3.88 (d, *J* = 7.0 Hz, 1H), 2.75 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 177.0, 170.3, 163.2, 144.9, 138.8, 137.7, 135.5, 131.9, 128.5, 128.2, 127.9, 127.7, 127.0, 117.8, 117.5, 76.1, 75.3, 64.6, 61.2, 58.8, 57.5, 26.8, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}BrNO_5$ $[M+H]^+$ = 496.0754, found = 496.0758, $[M^*+H]^+$ = 498.0754, found = 498.0742; **HPLC**: The ee value was 84%, t_R (major) = 13.9 min, t_R (minor) = 12.0 min (Chiralpak IA 3, λ = 254 nm, 7% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	12.026	13991615	50.06	506164
2	13.953	13955820	49.94	453342

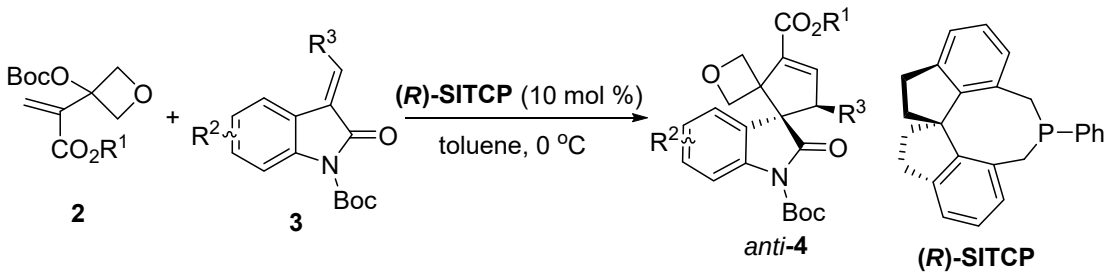
Racemic ***syn*-6ar**



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	11.966	4791403	8.01	153401
2	13.885	55030936	91.99	1376726

Enantiomerically enriched ***syn*-6ar**

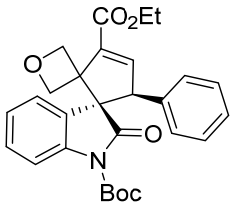
F. General procedure for the synthesis of *anti* isomer with **(R)-SITCP**



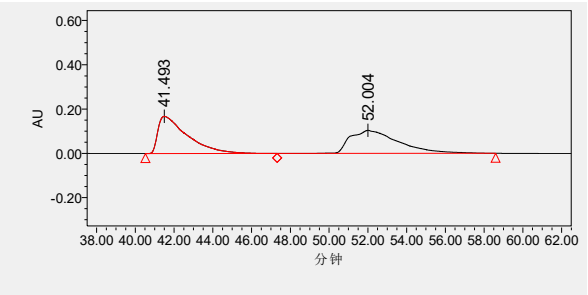
To the solution of oxetane MBH carbonate **2** (0.1 mmol, 1 eq.) and **3** (0.15 mmol, 1.5 eq.) in anhydrous toluene at 0 °C was added **(R)-SITCP** (3.5 mg, 0.01 mmol, 0.1 eq.), and the resulting mixture was stirred overnight. When MBH carbonate **2** was consumed monitored by TLC, the reaction mixture was purified directly by flash column chromatography (hexane/ ethyl acetate = 10/1) to afford a mixture of two isomers. Further purification was carried out via flash column chromatography to get pure *anti* isomer.

G. Analytic data for the *anti* isomer

1-(tert-butyl) 3'-ethyl (3S,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4aa)***

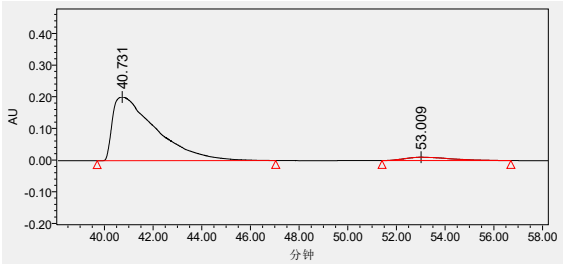


According to the general procedure to afford the mixture of two isomers (38.9 mg, 82% yield), and the title compound was further purified as a foam; **$[\alpha]^{25}_{D}$** = –102.985 (c 0.134, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 – 7.76 (m, 1H), 7.40 (ddd, *J* = 10.3, 4.2, 2.2 Hz, 2H), 7.35 – 7.29 (m, 1H), 7.18 – 7.09 (m, 3H), 7.08 (d, *J* = 2.2 Hz, 1H), 6.77 – 6.70 (m, 2H), 5.26 (d, *J* = 7.1 Hz, 1H), 5.08 (d, *J* = 6.5 Hz, 1H), 4.94 (d, *J* = 6.5 Hz, 1H), 4.43 – 4.34 (m, 3H), 4.27 (d, *J* = 2.2 Hz, 1H), 1.42 (s, 12H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.25, 163.54, 148.51, 144.05, 140.83, 138.68, 135.62, 129.42, 128.24, 128.02, 127.83, 126.85, 124.89, 124.73, 115.20, 84.03, 78.26, 76.62, 65.80, 60.93, 60.27, 56.60, 27.89, 14.36; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_6$ $[M+H]^+$ = 476.2068, found = 476.2074; **HPLC**: The ee value was 90%, t_R (major) = 40.73 min, t_R (minor) = 53.00 min (Chiralpak IE 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	41.493	17751048	49.45	168373
2	52.004	18142531	50.55	104343

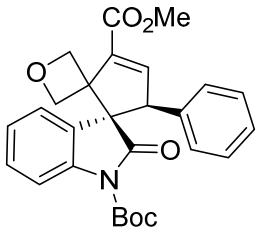
Racemic ***anti*-4aa**



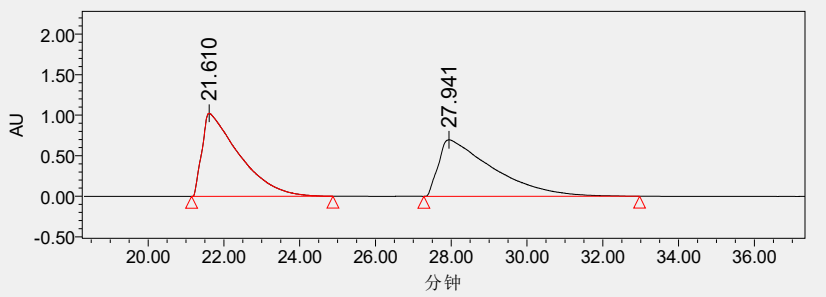
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	40.731	24859876	94.84	201019
2	53.009	1352903	5.16	10472

Enantiomerically enriched ***anti*-4aa**

1-(tert-butyl) 3'-methyl (3S,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4ba)***

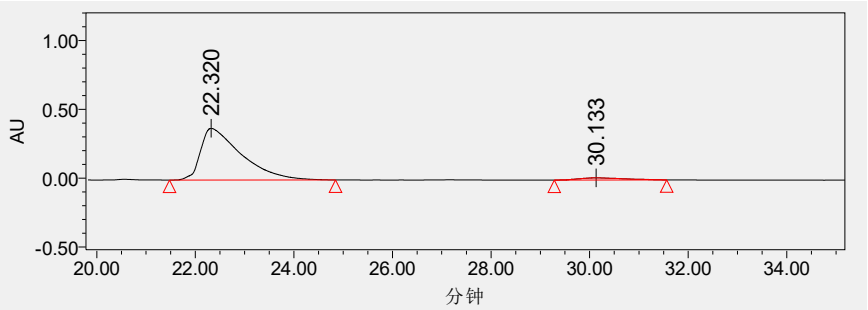


According to the general procedure to afford the mixture of two isomers (43 mg, 94% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –102.232 (c 0.224, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 – 7.76 (m, 1H), 7.40 (ddd, *J* = 7.3, 4.2, 2.6 Hz, 2H), 7.36 – 7.28 (m, 1H), 7.22 – 7.07 (m, 4H), 6.77 – 6.69 (m, 2H), 5.25 (d, *J* = 7.1 Hz, 1H), 5.09 (d, *J* = 6.5 Hz, 1H), 4.93 (d, *J* = 6.5 Hz, 1H), 4.36 (d, *J* = 7.1 Hz, 1H), 4.27 (d, *J* = 2.2 Hz, 1H), 3.92 (s, 3H), 1.42 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.21, 163.96, 148.50, 144.40, 140.84, 138.46, 135.54, 129.46, 128.26, 128.02, 127.86, 126.75, 124.87, 124.74, 115.23, 84.06, 78.21, 76.60, 65.77, 60.27, 56.58, 51.96, 27.89; **HRMS** (ESI): m/z calcd for $C_{27}H_{28}NO_6$ $[M+H]^+$ = 462.1911, found = 462.1918; **HPLC**: The ee value was 91%, t_R (major) = 22.32 min, t_R (minor) = 30.13 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	21.610	69300013	50.01	1024398
2	27.941	69273442	49.99	696592

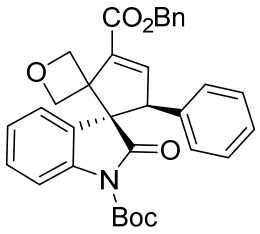
Racemic ***anti*-4ba**



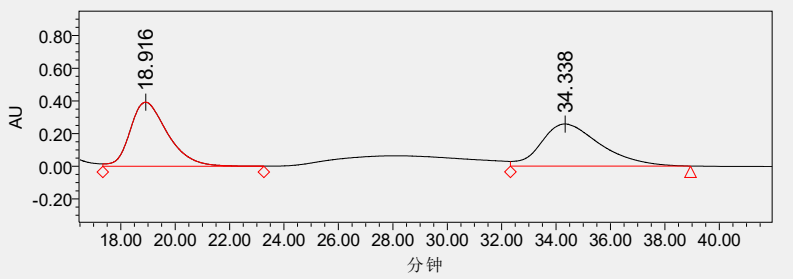
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	22.320	21517230	95.70	376148
2	30.133	967446	4.30	15661

Enantiomerically enriched ***anti*-4ba**

3'-benzyl 1-(tert-butyl) (3S,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4ca)***

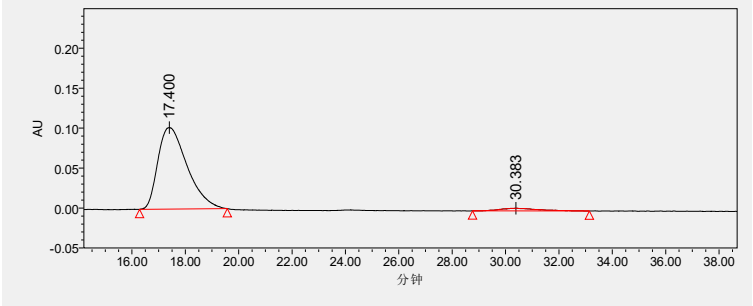


According to the general procedure to afford the mixture of two isomers (16 mg, 29% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –39.362 (c 0.282, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.80 (d, J = 8.0 Hz, 1H), 7.49 (d, J = 6.9 Hz, 2H), 7.43 – 7.32 (m, 6H), 7.20 – 7.08 (m, 4H), 6.76 – 6.69 (m, 2H), 5.44 (d, J = 12.4 Hz, 1H), 5.35 – 5.26 (m, 2H), 5.11 (d, J = 6.6 Hz, 1H), 4.95 (d, J = 6.5 Hz, 1H), 4.38 (d, J = 7.1 Hz, 1H), 4.27 (d, J = 2.2 Hz, 1H), 1.42 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.22, 163.30, 148.50, 144.72, 140.86, 138.40, 135.86, 135.49, 129.46, 128.69, 128.46, 128.38, 128.25, 128.04, 127.87, 126.77, 124.88, 124.74, 115.24, 84.08, 78.25, 76.60, 66.66, 65.80, 60.36, 56.61, 27.89; **HRMS** (ESI): m/z calcd for $C_{33}H_{32}NO_6$ $[M+H]^+$ = 538.2224, found = 538.2230; **HPLC**: The ee value was 92%, t_R (major) = 30.38 min, t_R (minor) = 17.40 min (Chiralpak IC 3, λ = 254 nm, 40% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	18.916	37564445	48.96	392144
2	34.338	39155398	51.04	257159

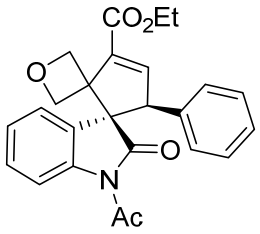
Racemic ***anti*-4ca**



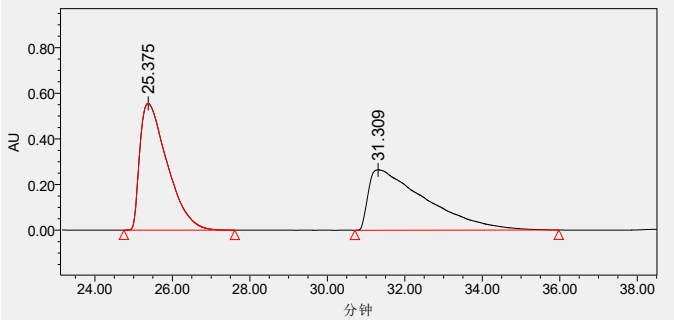
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	17.400	7775312	95.99	101970
2	30.383	324490	4.01	3330

Enantiomerically enriched ***anti*-4ca**

Ethyl (3S,5'S)-1-acetyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(anti-6aa)***

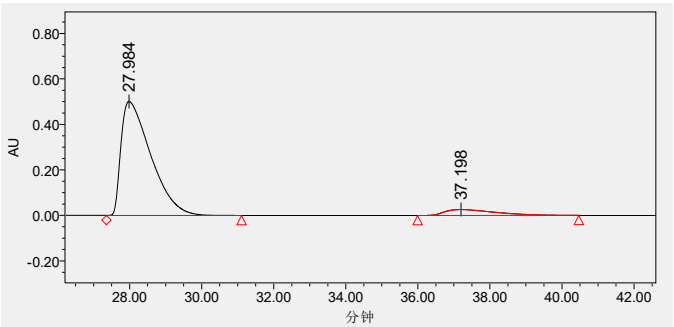


According to the general procedure to afford the mixture of two isomers (32.5 mg, 78% yield), and the title compound was further purified as a foam; $[\alpha]^{25}_{D}$ = –105.814 (c 0.172, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (dd, J = 7.5, 1.4 Hz, 1H), 7.47 – 7.34 (m, 3H), 7.24 – 7.08 (m, 4H), 6.72 (dd, J = 6.9, 1.6 Hz, 2H), 5.24 (d, J = 7.0 Hz, 1H), 5.03 (d, J = 6.6 Hz, 1H), 4.96 (d, J = 6.6 Hz, 1H), 4.42 (qd, J = 7.1, 4.2 Hz, 2H), 4.35 (d, J = 7.0 Hz, 1H), 4.31 (d, J = 2.2 Hz, 1H), 2.17 (s, 3H), 1.42 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 176.01, 170.23, 163.48, 143.77, 140.92, 138.83, 135.59, 129.62, 128.37, 128.17, 127.89, 127.40, 125.59, 124.56, 116.67, 77.95, 76.51, 65.63, 61.07, 60.39, 56.83, 26.10, 14.34; **HRMS** (ESI): m/z calcd for $C_{25}H_{23}NO_5$ $[M+H]^+$ = 418.1649, found = 418.1660; **HPLC**: The ee value was 84%, t_R (major) = 27.98 min, t_R (minor) = 37.19 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	25.375	27258441	49.95	555141
2	31.309	27318158	50.05	264459

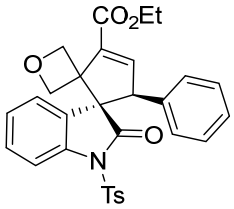
Racemic ***anti-6aa***



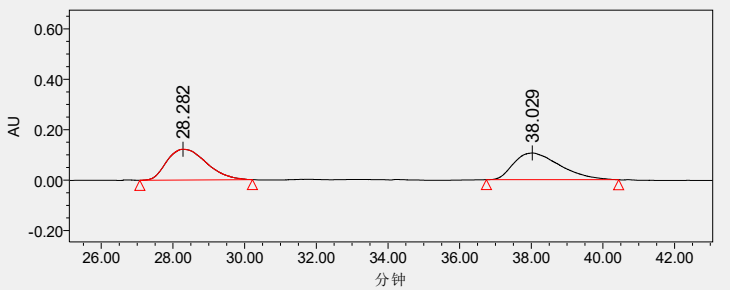
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	27.984	28751358	91.80	501011
2	37.198	2567482	8.20	26152

Enantiomerically enriched ***anti-6aa***

Ethyl (3S,5'S)-2-oxo-5'-phenyl-1-tosyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(anti-8aa)***

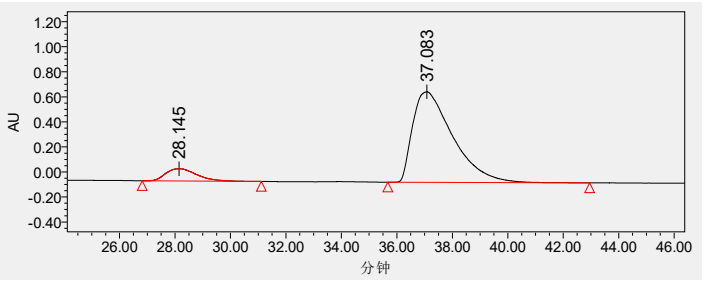


According to the general procedure to afford the mixture of two isomers (40 mg, 77% yield), and the title compound was further purified as a foam; $[\alpha]^{25}_{D}$ = –97.26 (c 0.146, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.92 (d, J = 8.1 Hz, 1H), 7.62 (d, J = 8.3 Hz, 2H), 7.46 (ddd, J = 8.4, 6.8, 2.1 Hz, 1H), 7.41 – 7.33 (m, 2H), 7.16 (d, J = 8.2 Hz, 2H), 7.10 – 7.02 (m, 2H), 6.97 (t, J = 7.6 Hz, 2H), 6.64 – 6.57 (m, 2H), 5.04 (d, J = 7.2 Hz, 1H), 4.94 (d, J = 6.6 Hz, 1H), 4.86 (d, J = 6.6 Hz, 1H), 4.36 (qd, J = 7.1, 1.4 Hz, 2H), 4.24 (d, J = 2.2 Hz, 1H), 3.93 (d, J = 7.2 Hz, 1H), 2.40 (s, 3H), 1.38 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.42, 163.40, 145.37, 144.23, 140.18, 138.02, 135.05, 134.88, 130.01, 129.71, 128.26, 127.78, 126.82, 125.47, 125.15, 113.99, 77.06, 76.58, 65.23, 60.99, 59.07, 57.18, 21.76, 14.33; **HRMS** (ESI): m/z calcd for $C_{30}H_{28}NO_6S$ $[M+H]^+$ = 530.1632, found = 530.1641; **HPLC**: The ee value was 81%, t_R (major) = 37.08 min, t_R (minor) = 28.14 min (Chiralpak IE 3, λ = 254 nm, 30% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	28.282	9389732	49.80	122426
2	38.029	9464768	50.20	105707

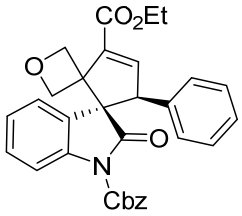
Racemic ***anti-8aa***



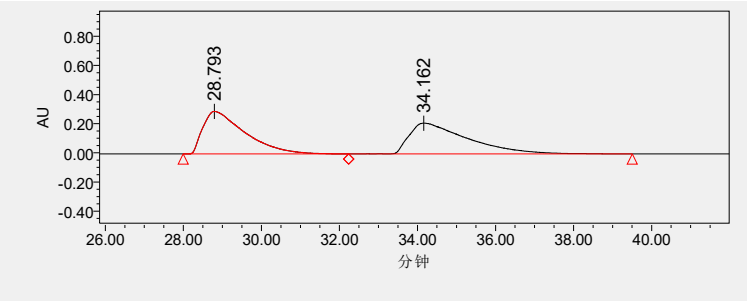
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	28.145	7607231	9.47	97458
2	37.083	72762860	90.53	722535

Enantiomerically enriched ***anti-8aa***

1-benzyl 3'-ethyl (3S,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene -1,3'-dicarboxylate ***(anti-10aa)***

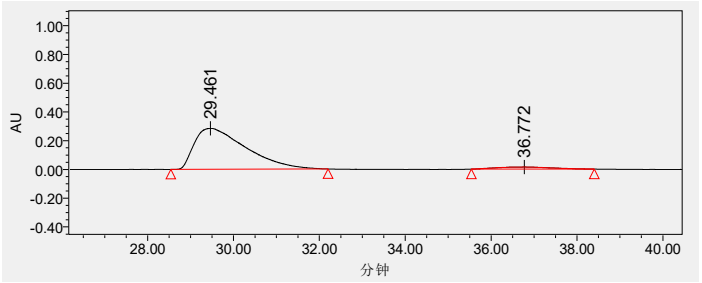


According to the general procedure to afford the mixture of two isomers (38 mg, 76% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = +4.386 (c 0.114, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.90 – 7.83 (m, 1H), 7.45 – 7.30 (m, 6H), 7.29 – 7.24 (m, 2H), 7.09 (qd, *J* = 7.3, 3.7 Hz, 4H), 6.74 (d, *J* = 7.1 Hz, 2H), 5.31 – 5.23 (m, 2H), 5.18 (d, *J* = 12.5 Hz, 1H), 5.03 (d, *J* = 6.6 Hz, 1H), 4.95 (d, *J* = 6.6 Hz, 1H), 4.40 (ddd, *J* = 17.0, 8.5, 4.7 Hz, 3H), 4.29 (d, *J* = 2.1 Hz, 1H), 1.41 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.04, 163.53, 150.11, 144.05, 140.28, 138.58, 135.46, 134.92, 129.59, 128.59, 128.34, 128.01, 127.92, 127.73, 127.08, 125.13, 124.81, 115.45, 77.98, 76.53, 68.30, 65.69, 60.99, 60.14, 56.97, 14.34; **HRMS** (ESI): m/z calcd for $C_{31}H_{28}NO_6$ $[M+H]^+$ = 510.1911, found = 510.1914; **HPLC**: The ee value was 89%, t_R (major) = 29.46 min, t_R (minor) = 36.77 min (Chiralpak IE 3, λ = 254 nm, 30% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	28.793	21883297	49.90	292137
2	34.162	21975015	50.10	210996

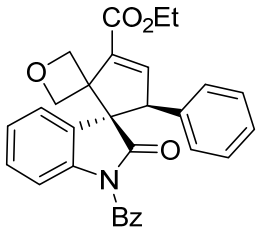
Racemic ***anti-10aa***



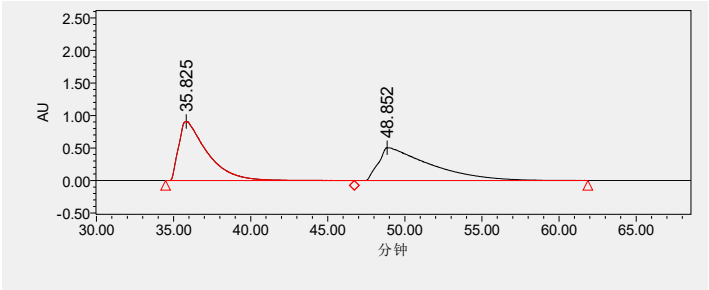
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	29.461	23785076	94.73	284879
2	36.772	1323458	5.27	14473

Enantiomerically enriched ***anti-10aa***

Ethyl (3S,5'S)-1-benzoyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-3'-carboxylate ***(anti-12aa)***

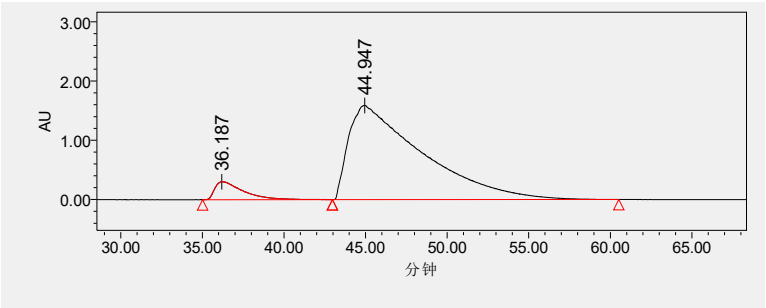


According to the general procedure to afford the mixture of two isomers (38 mg, 81% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –190.341 (c 0.176, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.76 (d, J = 8.2 Hz, 1H), 7.54 – 7.40 (m, 4H), 7.32 (dt, J = 14.2, 7.0 Hz, 3H), 7.22 (t, J = 7.6 Hz, 2H), 7.11 (d, J = 1.9 Hz, 1H), 6.89 – 6.82 (m, 2H), 6.76 (d, J = 7.7 Hz, 2H), 5.17 (d, J = 7.1 Hz, 1H), 5.09 (d, J = 6.5 Hz, 1H), 4.93 (d, J = 6.5 Hz, 1H), 4.45 (d, J = 2.1 Hz, 1H), 4.40 – 4.28 (m, 3H), 1.37 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 174.79, 168.88, 163.39, 143.61, 141.16, 138.66, 136.35, 133.52, 132.83, 129.76, 129.30, 128.75, 128.24, 128.10, 127.99, 127.56, 125.39, 125.26, 115.13, 77.85, 76.75, 65.84, 60.96, 59.17, 57.34, 14.33; **HRMS** (ESI): m/z calcd for $C_{30}H_{26}NO_5$ $[M+H]^+$ = 480.1805, found = 480.1807; **HPLC**: The ee value was 86%, t_R (major) = 44.94 min, t_R (minor) = 36.18 min (Chiralpak IE 3, λ = 254 nm, 30% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	35.825	116060269	49.83	908495
2	48.852	116847460	50.17	504941

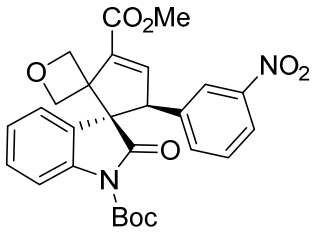
Racemic ***anti-12aa***



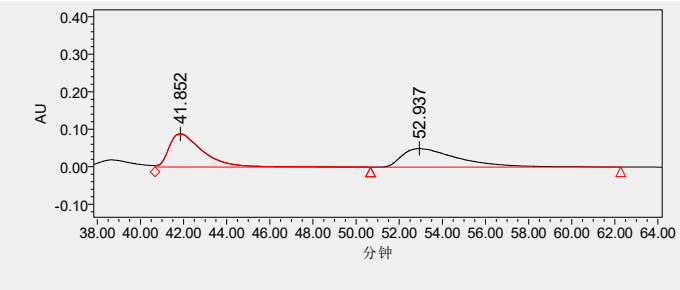
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	36.187	36448314	6.83	303119
2	44.947	497400566	93.17	1588407

Enantiomerically enriched ***anti-12aa***

1-(tert-butyl) 3'-methyl (3S,5'S)-5'-(3-nitrophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bb)***

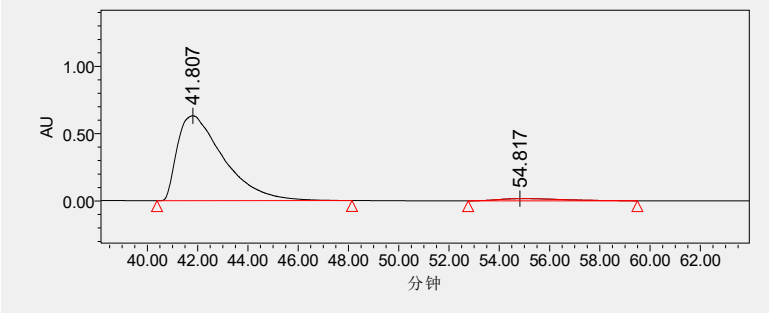


According to the general procedure to afford the mixture of two isomers (45 mg, 90% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –147.619 (c 0.126, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (ddd, *J* = 8.3, 2.3, 1.0 Hz, 1H), 7.81 – 7.74 (m, 1H), 7.62 (t, *J* = 2.0 Hz, 1H), 7.43 (ddd, *J* = 10.2, 6.9, 1.9 Hz, 2H), 7.38 – 7.30 (m, 2H), 7.10 – 7.04 (m, 2H), 5.31 – 5.20 (m, 1H), 4.99 (d, *J* = 6.7 Hz, 1H), 4.94 (d, *J* = 6.7 Hz, 1H), 4.43 – 4.34 (m, 2H), 3.94 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.85, 163.64, 148.21, 148.06, 142.38, 140.45, 139.56, 137.90, 134.20, 129.99, 129.31, 126.18, 125.17, 124.61, 123.08, 122.95, 115.37, 84.65, 77.71, 76.29, 65.27, 59.38, 56.90, 52.16, 27.86; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}N_2O_8$ $[M+H]^+$ = 507.1762, found = 507.1771; **HPLC**: The ee value was 93%, t_R (major) = 41.80 min, t_R (minor) = 54.81 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	41.852	9672971	52.04	88256
2	52.937	8914605	47.96	49569

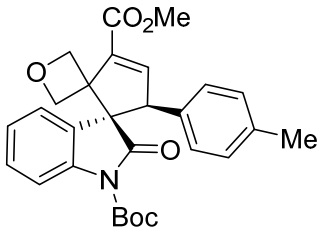
Racemic ***anti*-4bb**



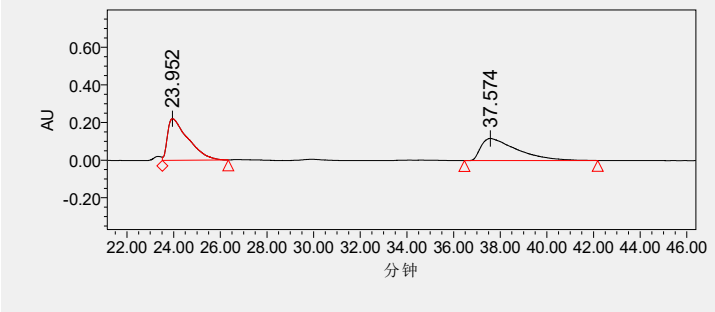
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	41.807	80516202	96.64	630792
2	54.817	2798287	3.36	15910

Enantiomerically enriched ***anti*-4bb**

1-(tert-butyl) 3'-methyl (3S,5'S)-2-oxo-5'-(p-tolyl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan] -3'-ene-1,3'-dicarboxylate ***(anti-4bc)***

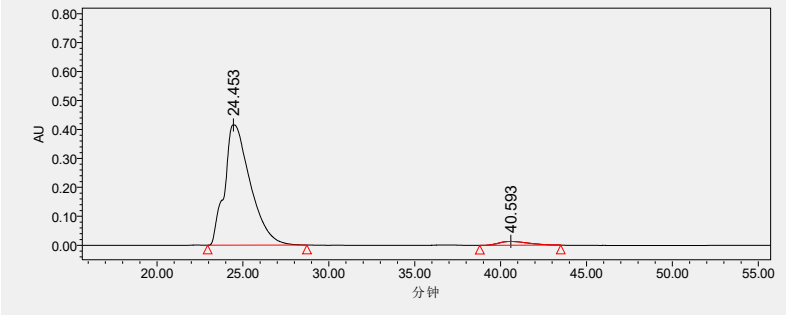


According to the general procedure to afford the mixture of two isomers (42 mg, 89% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –116.901 (c 0.142, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 8.1 Hz, 1H), 7.44 – 7.36 (m, 2H), 7.36 – 7.28 (m, 1H), 7.08 (d, *J* = 2.1 Hz, 1H), 6.93 (d, *J* = 7.8 Hz, 2H), 6.61 (d, *J* = 8.1 Hz, 2H), 5.25 (d, *J* = 7.2 Hz, 1H), 5.09 (d, *J* = 6.5 Hz, 1H), 4.93 (d, *J* = 6.5 Hz, 1H), 4.37 (d, *J* = 7.2 Hz, 1H), 4.23 (d, *J* = 2.2 Hz, 1H), 3.92 (s, 3H), 2.23 (s, 3H), 1.42 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.28, 164.01, 148.55, 144.67, 140.83, 138.32, 137.47, 132.44, 129.37, 128.94, 127.89, 126.90, 124.83, 124.70, 115.22, 83.98, 78.28, 76.61, 65.80, 60.09, 56.50, 51.94, 27.86, 21.04; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_6$ $[M+H]^+$ = 476.2068, found = 476.2076; **HPLC**: The ee value was 93%, t_R (major) = 24.45 min, t_R (minor) = 40.59 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	23.952	13545552	51.83	221256
2	37.574	12590911	48.17	117678

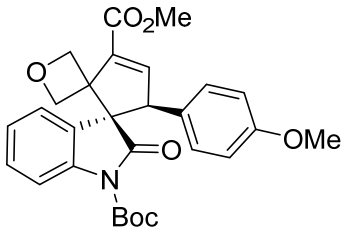
Racemic ***anti*-4bc**



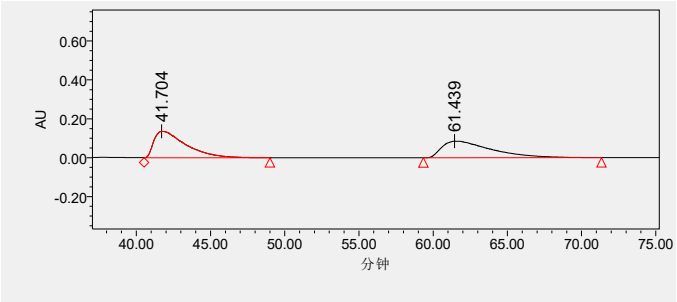
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	24.453	43332776	96.42	415099
2	40.593	1607900	3.58	13402

Enantiomerically enriched ***anti*-4bc**

1-(tert-butyl) 3'-methyl (3S,5'S)-5'-(4-methoxyphenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2', 3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bd)***

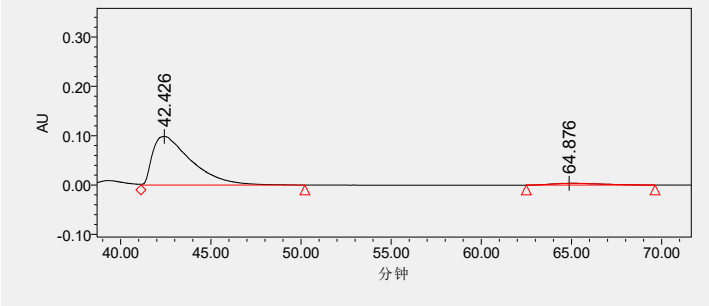


According to the general procedure to afford the mixture of two isomers (43 mg, 89% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –126.316 (c 0.190, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.82 – 7.75 (m, 1H), 7.42 – 7.35 (m, 2H), 7.35 – 7.24 (m, 1H), 7.05 (d, *J* = 2.1 Hz, 1H), 6.64 (s, 4H), 5.24 (d, *J* = 7.1 Hz, 1H), 5.07 (d, *J* = 6.5 Hz, 1H), 4.91 (d, *J* = 6.5 Hz, 1H), 4.35 (d, *J* = 7.1 Hz, 1H), 4.22 (d, *J* = 2.2 Hz, 1H), 3.90 (s, 3H), 3.69 (s, 3H), 1.45 – 1.40 (m, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.39, 163.99, 159.21, 148.52, 144.80, 140.80, 138.14, 129.37, 129.13, 127.48, 126.89, 124.85, 124.72, 115.21, 113.61, 84.03, 78.26, 76.60, 65.82, 59.73, 56.45, 55.13, 51.93, 27.87; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_7$ $[M+H]^+$ = 492.2017, found = 492.2028; **HPLC**: The ee value was 91%, t_R (major) = 42.42 min, t_R (minor) = 64.87 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	41.704	20224615	50.55	135899
2	61.439	19787005	49.45	85366

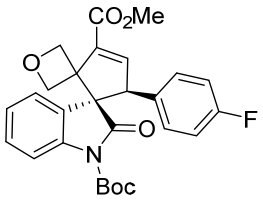
Racemic ***anti*-4bd**



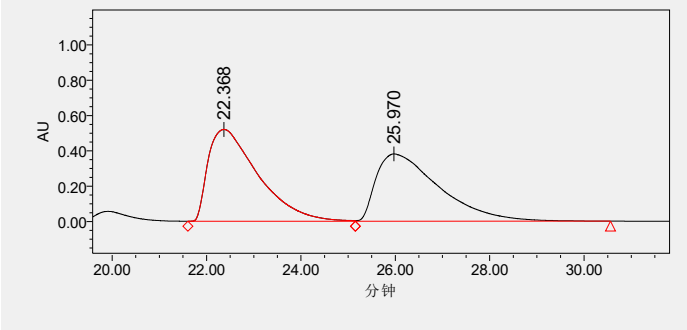
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	42.426	14716660	95.52	98400
2	64.876	690413	4.48	3561

Enantiomerically enriched ***anti*-4bd**

1-(tert-butyl) 3'-methyl (3S,5'S)-5'-(4-fluorophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4be)***

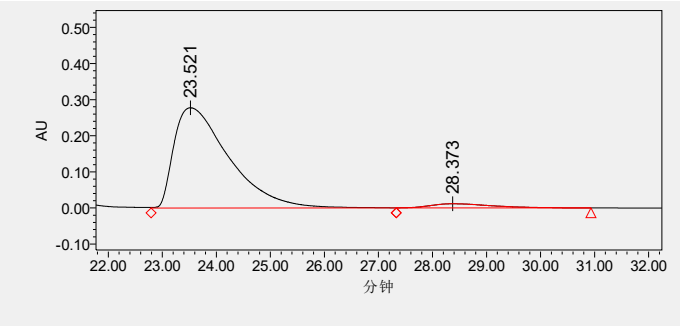


According to the general procedure to afford the mixture of two isomers (43 mg, 91% yield), and the title compound was further purified as a foam; $[\alpha]^{25}_{D}$ = –105.926 (c 0.270, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.81 – 7.74 (m, 1H), 7.43 – 7.35 (m, 2H), 7.31 (td, *J* = 7.3, 1.1 Hz, 1H), 7.02 (d, *J* = 2.2 Hz, 1H), 6.85 – 6.73 (m, 2H), 6.73 – 6.62 (m, 2H), 5.23 (d, *J* = 7.1 Hz, 1H), 5.05 (d, *J* = 6.6 Hz, 1H), 4.90 (d, *J* = 6.5 Hz, 1H), 4.33 (d, *J* = 7.1 Hz, 1H), 4.24 (d, *J* = 2.2 Hz, 1H), 3.90 (s, 3H), 1.42 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.24, 163.86, 162.44 (d, $J_{C\text{-}F}$= 246.7 Hz) 148.37, 143.97, 140.73, 138.55, 131.36 (d, $J_{C\text{-}F}$ = 3.0 Hz), 129.69 (d, $J_{C\text{-}F}$ = 8.1 Hz), 129.56, 126.53, 124.87, 124.84, 115.21, 115.14 (d, $J_{C\text{-}}$= 21.3 Hz), 84.27, 78.10, 76.50, 65.74, 59.47, 56.54, 51.97, 27.86; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}FNO_6$ $[M+H]^+$ = 480.1817, found = 480.1823; **HPLC**: The ee value was 91%, t_R (major) = 23.52 min, t_R (minor) = 28.37 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	22.368	38183879	51.99	519795
2	25.970	35254450	48.01	381108

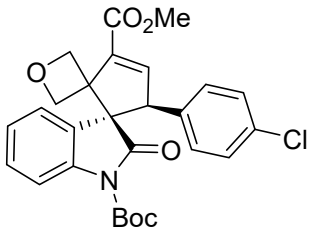
Racemic ***anti*-4be**



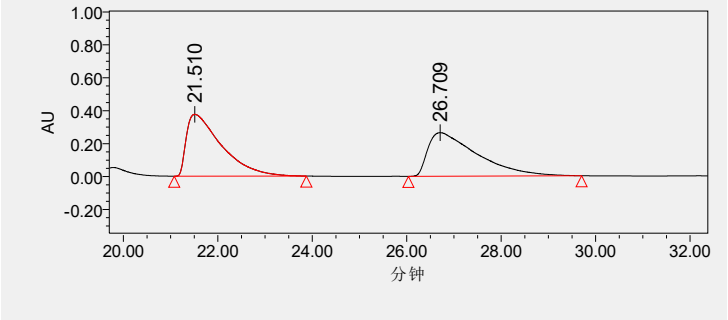
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	23.521	19670549	95.49	277470
2	28.373	929138	4.51	11766

Enantiomerically enriched ***anti*-4be**

1-(tert-butyl) 3'-methyl (3S,5'S)-5'-(4-chlorophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bf)***

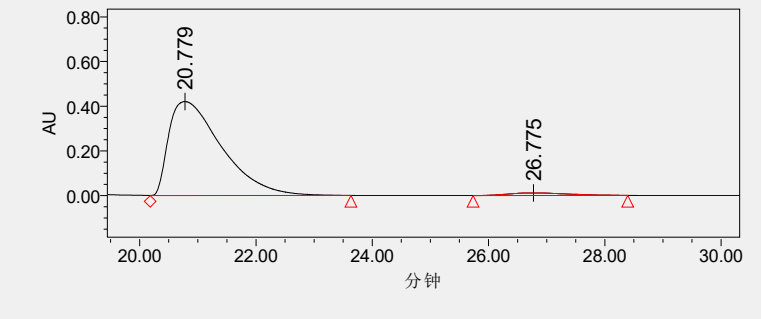


According to the general procedure to afford the mixture of two isomers (35 mg, 71% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –101.247 (c 0.401, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.79 (dd, *J* = 8.1, 1.2 Hz, 1H), 7.40 (ddd, *J* = 10.0, 7.1, 1.7 Hz, 2H), 7.32 (td, *J* = 7.4, 1.1 Hz, 1H), 7.12 – 7.06 (m, 2H), 7.02 (d, *J* = 2.0 Hz, 1H), 6.69 – 6.61 (m, 2H), 5.23 (d, *J* = 7.1 Hz, 1H), 5.07 (d, *J* = 6.5 Hz, 1H), 4.91 (d, *J* = 6.5 Hz, 1H), 4.33 (d, *J* = 7.1 Hz, 1H), 4.23 (d, *J* = 2.2 Hz, 1H), 3.91 (s, 3H), 1.44 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.17, 163.81, 148.36, 143.57, 140.77, 138.85, 134.09, 133.88, 129.64, 129.36, 128.42, 126.41, 124.88, 115.26, 84.36, 78.13, 76.51, 65.74, 59.56, 56.55, 52.02, 27.88; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}ClNO_6$ $[M+H]^+$ = 496.1521, found = 496.1529; **HPLC**: The ee value was 94%, t_R (major) = 20.77 min, t_R (minor) = 26.77 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	21.510	19400768	50.33	376097
2	26.709	19149366	49.67	264550

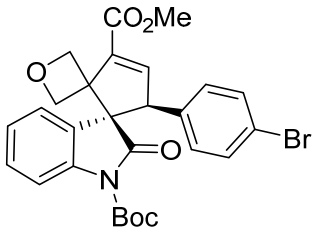
Racemic ***anti*-4bf**



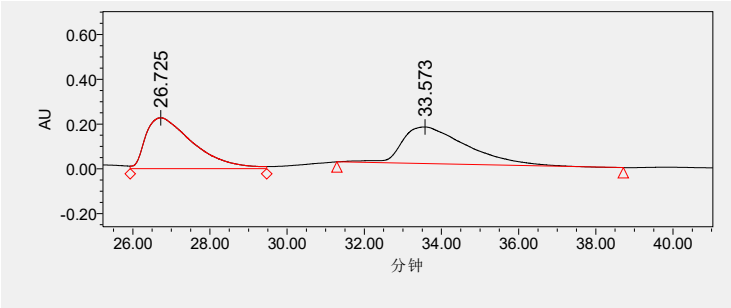
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	20.779	26616256	97.01	420573
2	26.775	820568	2.99	11574

Enantiomerically enriched ***anti*-4bf**

1-(tert-butyl) 3'-methyl (3S,5'S)-5'-(4-bromophenyl)-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bg)***

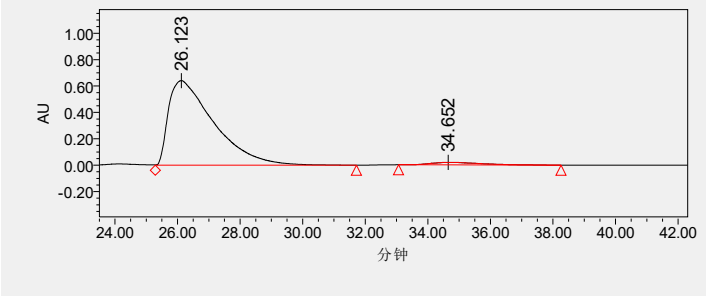


According to the general procedure to afford the mixture of two isomers (46 mg, 87% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –139.855 (c 0.138, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 (d, J = 8.1 Hz, 1H), 7.40 – 7.26 (m, 3H), 7.22 – 7.18 (m, 2H), 6.98 (d, J = 2.1 Hz, 1H), 6.58 – 6.51 (m, 2H), 5.19 (d, J = 7.1 Hz, 1H), 5.03 (d, J = 6.6 Hz, 1H), 4.87 (d, J = 6.6 Hz, 1H), 4.29 (d, J = 7.2 Hz, 1H), 4.17 (d, J = 2.2 Hz, 1H), 3.87 (s, 3H), 1.42 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.13, 163.81, 148.37, 143.46, 140.78, 138.93, 134.58, 131.38, 129.68, 129.65, 126.39, 124.86, 124.84, 122.08, 115.28, 84.41, 78.13, 76.50, 65.69, 59.62, 56.57, 52.02, 27.90; **HRMS** (ESI): m/z calcd for $C_{27}H_{26}BrNO_6$ $[M+H]^+$ = 540.1016, found = 540.1017; **HPLC**: The ee value was 94%, t_R (major) = 26.12 min, t_R (minor) = 34.65 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	26.725	19430113	50.12	227086
2	33.573	19340539	49.88	163653

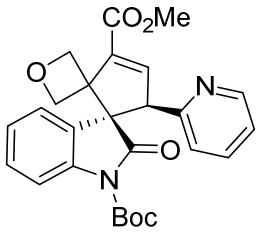
Racemic ***anti*-4bg**



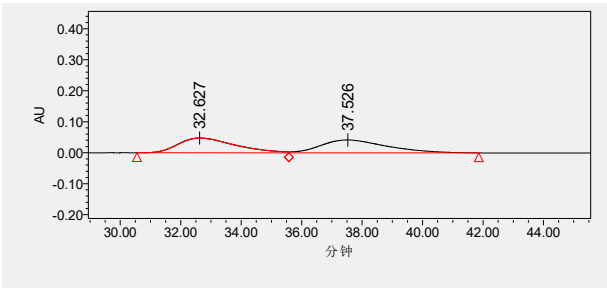
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	26.123	64472458	96.86	640562
2	34.652	2089455	3.14	18725

Enantiomerically enriched ***anti*-4bg**

1-(tert-butyl) 3'-methyl (3S,5'R)-2-oxo-5'-(pyridin-2-yl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bh)***

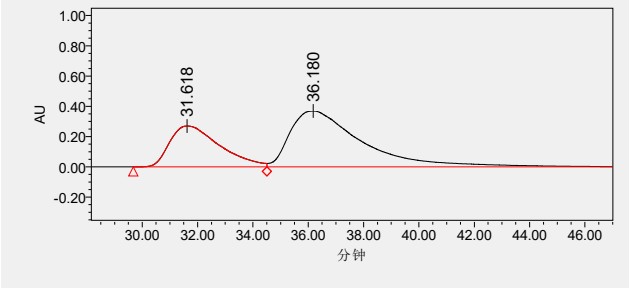


According to the general procedure to afford the mixture of two isomers (44 mg, 97% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –11.688 (c 0.231, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 3.9 Hz, 1H), 7.69 (d, *J* = 8.2 Hz, 1H), 7.41 (td, *J* = 7.7, 1.9 Hz, 1H), 7.37 (d, *J* = 2.3 Hz, 1H), 7.09 (td, *J* = 7.8, 1.4 Hz, 1H), 7.00 – 6.93 (m, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 6.77 (td, *J* = 7.6, 1.1 Hz, 1H), 6.66 (dd, *J* = 7.7, 1.4 Hz, 1H), 5.16 (d, *J* = 6.9 Hz, 1H), 4.95 (dd, *J* = 17.1, 7.0 Hz, 2H), 4.77 (d, *J* = 2.3 Hz, 1H), 3.92 (s, 4H), 1.67 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 175.30, 164.00, 156.75, 149.39, 144.58, 139.91, 137.33, 136.33, 128.80, 125.94, 124.26, 123.71, 122.26, 122.13, 114.73, 84.76, 76.13, 75.95, 63.80, 59.09, 58.78, 51.98, 28.19; **HRMS** (ESI): m/z calcd for $C_{26}H_{27}N_2O_6$ $[M+H]^+$ = 463.1864, found = 463.1873; **HPLC**: The ee value was 33%, t_R (major) = 36.18 min, t_R (minor) = 31.61 min (Chiralpak IA 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	32.627	6203803	49.59	47875
2	37.526	6307586	50.41	41713

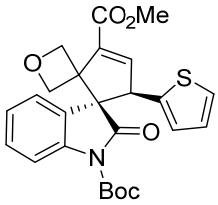
Racemic ***anti*-4bh**



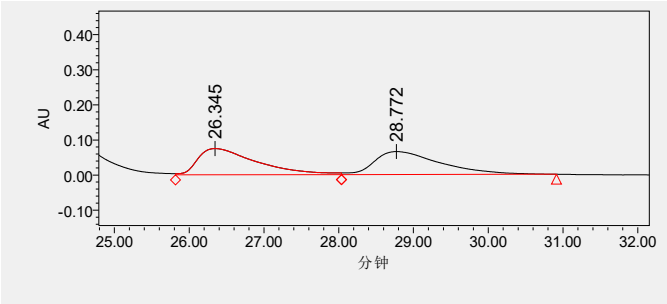
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	31.618	33738631	33.55	269492
2	36.180	66815027	66.45	368669

Enantiomerically enriched ***anti*-4bh**

1-(tert-butyl) 3'-methyl (3S,5'R)-2-oxo-5'-(thiophen-2-yl)dispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bi)***

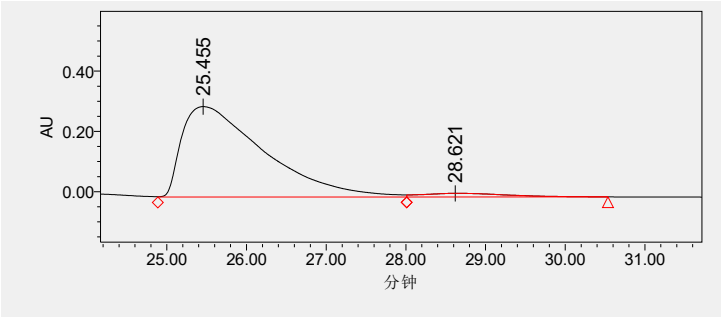


According to the general procedure to afford the mixture of two isomers (39 mg, 84% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –104.706 (c 0.170, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 1H), 7.42 (ddd, *J* = 8.3, 7.0, 1.8 Hz, 1H), 7.37 – 7.27 (m, 2H), 7.07 (dd, *J* = 5.7, 1.7 Hz, 2H), 6.85 (dd, *J* = 5.1, 3.5 Hz, 1H), 6.67 – 6.61 (m, 1H), 5.23 (d, *J* = 7.1 Hz, 1H), 4.96 (d, *J* = 6.6 Hz, 1H), 4.90 (d, *J* = 6.6 Hz, 1H), 4.49 (d, *J* = 2.2 Hz, 1H), 4.43 (d, *J* = 7.1 Hz, 1H), 3.92 (s, 3H), 1.48 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.97, 163.85, 148.62, 143.74, 140.98, 138.11, 137.54, 129.66, 126.99, 126.50, 126.21, 125.01, 124.81, 124.54, 115.33, 84.30, 77.86, 76.38, 65.20, 56.73, 55.14, 52.03, 28.11, 27.98; **HRMS** (ESI): m/z calcd for $C_{25}H_{26}NO_6S$ $[M+H]^+$ = 468.1475, found = 468.1490; **HPLC**: The ee value was 92%, t_R (major) = 25.45 min, t_R (minor) = 28.62 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	26.345	4093434	50.53	74765
2	28.772	4006932	49.47	65237

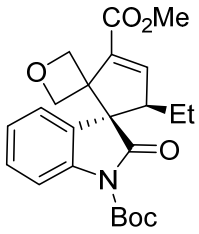
Racemic ***anti*-4bi**



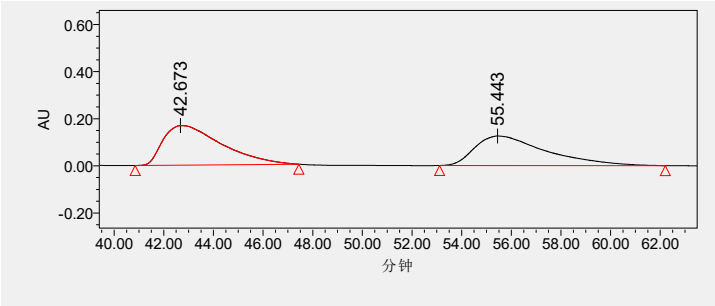
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	25.455	21553223	96.11	300218
2	28.621	873009	3.89	11952

Enantiomerically enriched ***anti*-4bi**

1-(tert-butyl) 3'-methyl (3S,5'R)-5'-ethyl-2-oxodispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bj)***

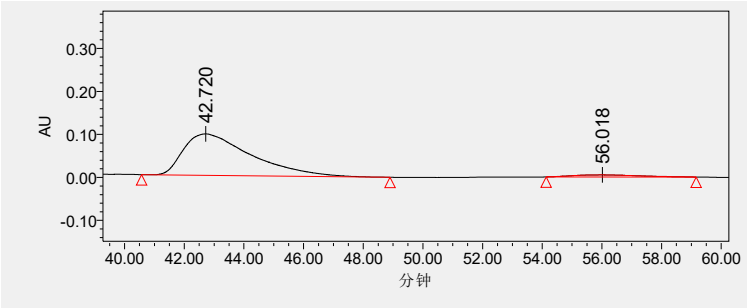


According to the general procedure to afford the mixture of two isomers (33 mg, 78% yield), and the title compound was further purified as a oil; **[α]$^{25}_{D}$** = - 45.000 (c 0.120, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.2 Hz, 1H), 7.33 (ddd, *J* = 8.3, 7.2, 1.7 Hz, 1H), 7.22 – 7.12 (m, 2H), 6.97 (d, *J* = 2.2 Hz, 1H), 5.10 (s, 1H), 4.79 (d, *J* = 6.6 Hz, 1H), 4.63 (d, *J* = 6.6 Hz, 1H), 4.52 (d, *J* = 7.0 Hz, 1H), 3.83 (s, 3H), 2.86 (ddd, *J* = 9.9, 5.5, 2.2 Hz, 1H), 1.60 (s, 9H), 1.52 – 1.42 (m, 1H), 1.39 – 1.29 (m, 1H), 0.79 (t, *J* = 7.4 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 174.11, 164.12, 148.89, 146.63, 140.19, 135.83, 129.14, 128.13, 124.67, 124.09, 115.13, 84.67, 77.10, 76.43, 62.46, 57.42, 56.20, 51.78, 28.12, 22.59, 12.52; **HRMS** (ESI): m/z calcd for $C_{23}H_{28}NO_6$ $[M+H]^+$ = 414.1911, found = 414.1923; **HPLC**: The ee value was 91%, t_R (major) = 42.72 min, t_R (minor) = 56.01 min (Chiralpak IC 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	42.673	27532951	52.47	167852
2	55.443	24942960	47.53	125359

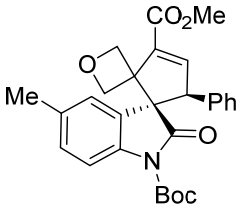
Racemic ***anti*-4bj**



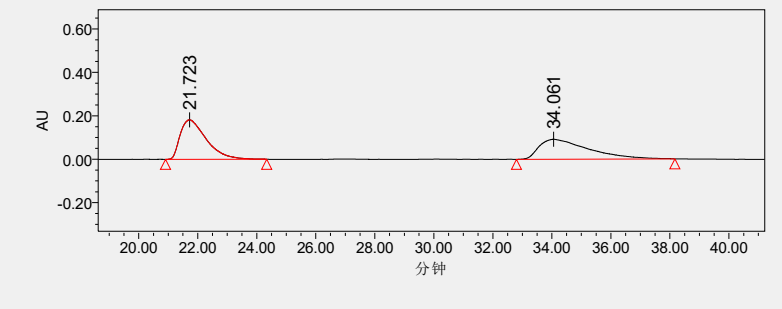
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	42.720	15190025	95.41	96367
2	56.018	730154	4.59	4811

Enantiomerically enriched ***anti*-4bj**

1-(tert-butyl) 3'-methyl (3S,5'S)-5-methyl-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bk)***

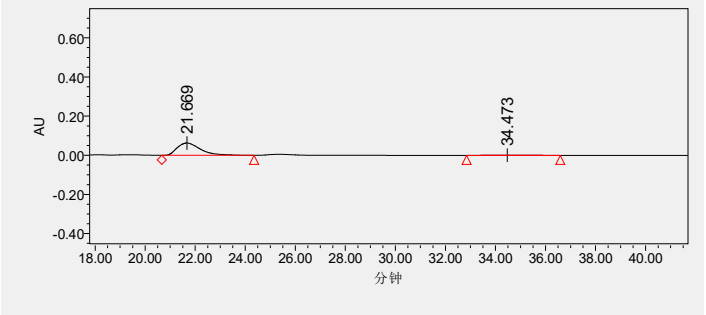


According to the general procedure to afford the mixture of two isomers (6 mg, 34% yield), and the title compound was further purified as a foam; **$[\alpha]^{25}_D$** = +4.412 (c 0.136, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 9.1 Hz, 1H), 7.23 – 7.07 (m, 6H), 6.77 – 6.70 (m, 2H), 5.25 (d, *J* = 7.1 Hz, 1H), 5.11 (d, *J* = 6.5 Hz, 1H), 4.93 (d, *J* = 6.5 Hz, 1H), 4.36 (d, *J* = 7.1 Hz, 1H), 4.26 (d, *J* = 2.2 Hz, 1H), 3.93 (s, 3H), 2.46 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.35, 163.99, 148.55, 144.41, 138.49, 138.47, 135.64, 134.36, 129.99, 128.23, 128.02, 127.82, 126.68, 125.34, 115.02, 83.86, 78.27, 76.66, 65.81, 60.22, 56.51, 51.95, 27.90, 21.41; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_6$ $[M+H]^+$ = 476.2068, found = 498.1893; **HPLC**: The ee value was 95%, t_R (major) = 21.66 min, t_R (minor) = 34.47 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	21.723	11140983	51.10	181789
2	34.061	10660024	48.90	91572

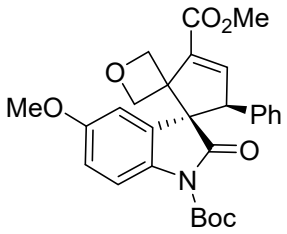
Racemic ***anti*-4bk**



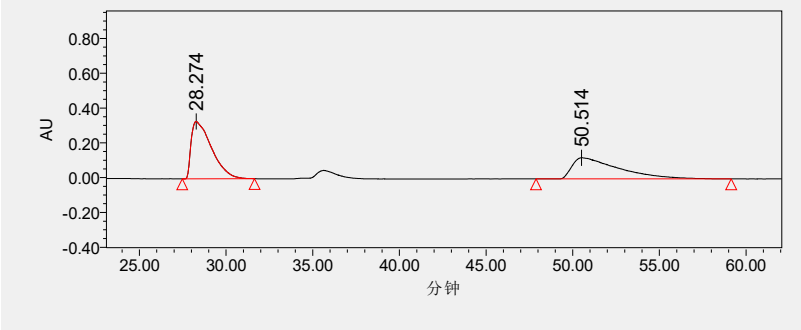
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	21.669	4106977	97.27	63260
2	34.473	115180	2.73	1079

Enantiomerically enriched ***anti*-4bk**

1-(tert-butyl) 3'-methyl (3S,5'S)-5-methoxy-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2', 3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bl)***

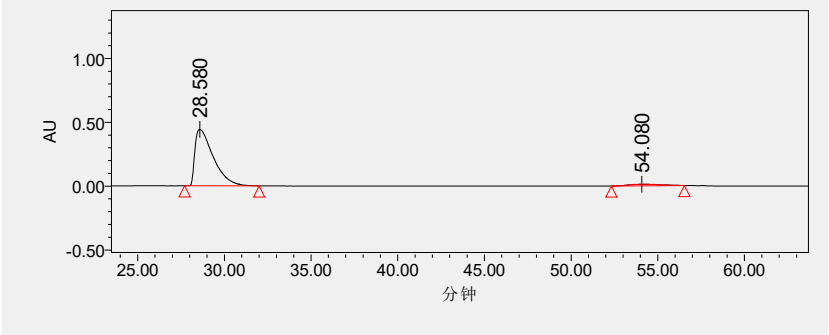


According to the general procedure to afford the mixture of two isomers (42 mg, 87% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –79.719 (c 0.498, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.8 Hz, 1H), 7.21 – 7.10 (m, 3H), 7.08 (d, *J* = 2.1 Hz, 1H), 6.97 – 6.88 (m, 2H), 6.76 (dd, *J* = 8.0, 1.6 Hz, 2H), 5.25 (d, *J* = 7.1 Hz, 1H), 5.11 (d, *J* = 6.6 Hz, 1H), 4.93 (d, *J* = 6.5 Hz, 1H), 4.37 (d, *J* = 7.1 Hz, 1H), 4.25 (d, *J* = 2.2 Hz, 1H), 3.92 (s, 3H), 3.88 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 173.12, 163.94, 157.00, 148.60, 144.33, 138.44, 135.58, 134.22, 128.24, 128.07, 127.85, 116.10, 113.46, 111.77, 83.84, 78.21, 76.45, 65.90, 60.26, 56.70, 55.85, 51.93, 27.91; **HRMS** (ESI): m/z calcd for $C_{28}H_{30}NO_7$ $[M+H]^+$ = 492.2017, found = 492.2030; **HPLC**: The ee value was 91%, t_R (major) = 28.58 min, t_R (minor) = 54.08 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	28.274	26968942	54.29	330089
2	50.514	22710015	45.71	121345

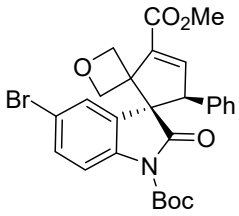
Racemic ***anti*-4bl**



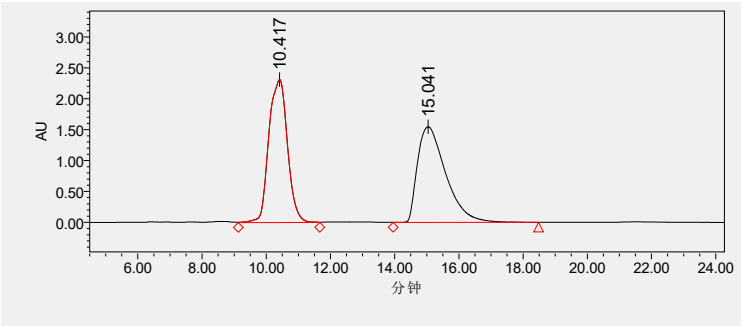
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	28.580	32426828	95.53	441310
2	54.080	1515757	4.47	11922

Enantiomerically enriched ***anti*-4bl**

1-(tert-butyl) 3'-methyl (3S,5'S)-5-bromo-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bm)***

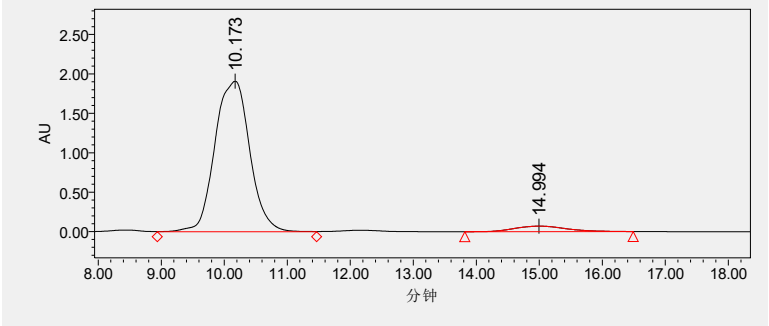


According to the general procedure to afford the mixture of two isomers (49 mg, 92% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –99.432 (c 0.176, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 9.3 Hz, 1H), 7.53 (dq, *J* = 3.5, 2.0 Hz, 2H), 7.21 – 7.12 (m, 3H), 7.07 (d, *J* = 2.1 Hz, 1H), 6.75 (d, *J* = 6.5 Hz, 2H), 5.32 – 5.23 (m, 1H), 5.03 (d, *J* = 6.7 Hz, 1H), 4.96 (d, *J* = 6.8 Hz, 1H), 4.34 (d, *J* = 7.2 Hz, 1H), 4.25 (d, *J* = 2.2 Hz, 1H), 3.93 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.33, 163.79, 148.28, 144.06, 139.84, 138.39, 135.17, 132.44, 129.11, 128.37, 128.07, 128.03, 127.67, 117.63, 116.87, 84.50, 78.12, 76.41, 65.63, 60.36, 56.75, 52.02, 27.86; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}BrNO_6$ $[M+H]^+$ = 540.1016, found = 540.1019; **HPLC**: The ee value was 90%, t_R (major) = 10.17 min, t_R (minor) = 14.99 min (Chiralpak IE 3, λ = 254 nm, 30% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	10.417	93313353	49.70	2312461
2	15.041	94445468	50.30	1545938

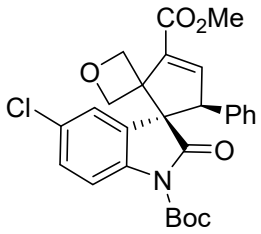
Racemic ***anti*-4bm**



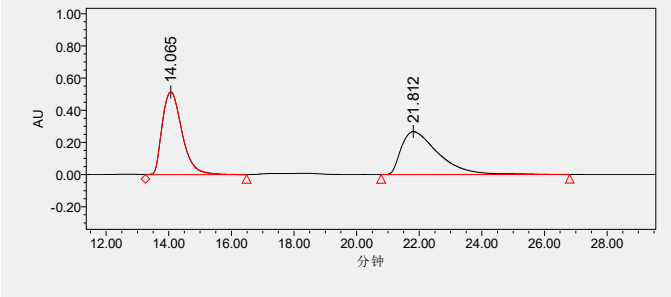
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	10.173	73464522	94.82	1910061
2	14.994	4017346	5.18	70472

Enantiomerically enriched ***anti*-4bm**

1-(tert-butyl) 3'-methyl (3S,5'S)-5-chloro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bn)***

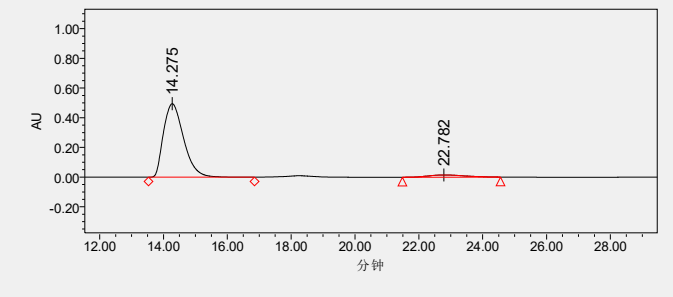


According to the general procedure to afford the mixture of two isomers (43 mg, 88% yield), and the title compound was further purified as a foam; $[\alpha]^{25}_{D}$ = –100.476 (c 0.210, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 9.4 Hz, 1H), 7.38 (d, *J* = 7.1 Hz, 2H), 7.21 – 7.13 (m, 3H), 7.07 (d, *J* = 2.0 Hz, 1H), 6.78 – 6.73 (m, 2H), 5.26 (d, *J* = 7.2 Hz, 1H), 5.03 (d, *J* = 6.7 Hz, 1H), 4.96 (d, *J* = 6.7 Hz, 1H), 4.35 (d, *J* = 7.2 Hz, 1H), 4.25 (d, *J* = 2.2 Hz, 1H), 3.93 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.46, 163.80, 148.30, 144.08, 139.32, 138.38, 135.16, 130.21, 129.53, 128.37, 128.07, 128.03, 124.85, 116.49, 84.48, 78.13, 76.39, 65.68, 60.34, 56.72, 52.03, 27.86; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}ClNO_6$ $[M+H]^+$ = 496.1521, found = 496.1525; **HPLC**: The ee value was 90%, t_R (major) = 14.27 min, t_R (minor) = 22.78 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	14.065	22453122	50.55	513671
2	21.812	21967964	49.45	267189

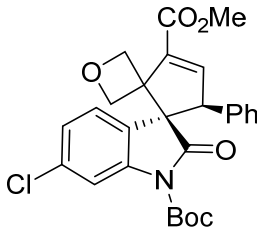
Racemic ***anti*-4bn**



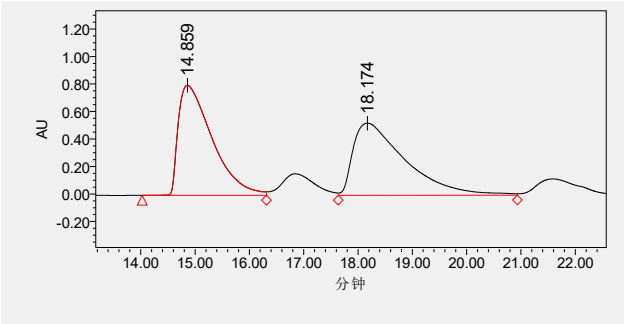
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	14.275	21700523	94.96	495217
2	22.782	1152773	5.04	14804

Enantiomerically enriched ***anti*-4bn**

1-(tert-butyl) 3'-methyl (3S,5'S)-6-chloro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bo)***

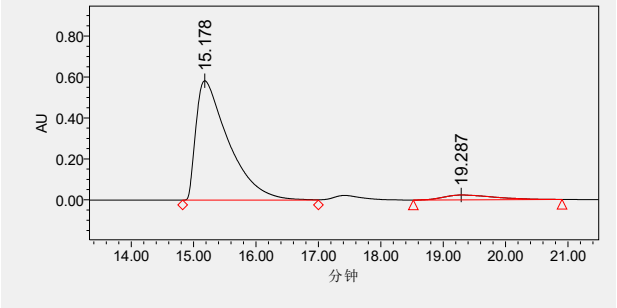


According to the general procedure to afford the mixture of two isomers (33 mg, 68% yield), and the title compound was further purified as a foam; **$[\alpha]^{25}_D$** = –75.000 (c 0.164, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 1.7 Hz, 1H), 7.38 – 7.28 (m, 2H), 7.20 – 7.10 (m, 3H), 7.06 (d, *J* = 2.2 Hz, 1H), 6.78 – 6.70 (m, 2H), 5.25 (d, *J* = 7.2 Hz, 1H), 5.00 (d, *J* = 6.6 Hz, 1H), 4.93 (d, *J* = 6.6 Hz, 1H), 4.31 (d, *J* = 7.2 Hz, 1H), 4.24 (d, *J* = 2.2 Hz, 1H), 3.91 (s, 3H), 1.41 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.75, 163.83, 148.22, 144.16, 141.71, 138.35, 135.33, 135.24, 130.28, 128.38, 128.03, 127.99, 125.68, 125.19, 124.83, 115.93, 84.61, 78.07, 76.41, 65.58, 60.16, 56.70, 51.98, 27.84; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}ClNO_6$ $[M+H]^+$ = 496.1521, found = 496.1523; **HPLC**: The ee value was 88%, t_R (major) = 15.17 min, t_R (minor) = 19.28 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	14.859	34731871	49.80	801233
2	18.174	35015383	50.20	524273

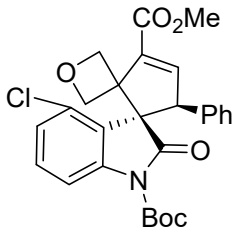
Racemic ***anti*-4bo**



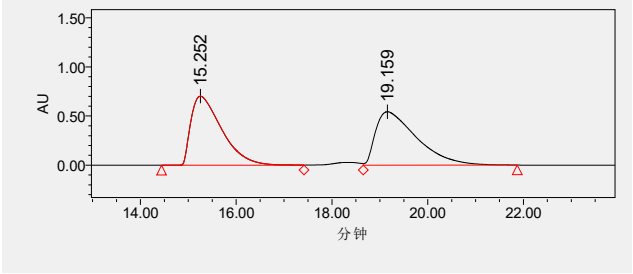
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	15.178	21113356	94.07	582903
2	19.287	1330535	5.93	23473

Enantiomerically enriched ***anti*-4bo**

1-(tert-butyl) 3'-methyl (3R,5'S)-4-chloro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bp)***

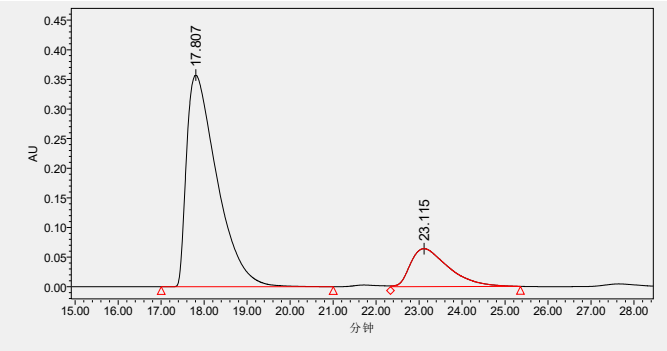


According to the general procedure to afford the mixture of two isomers (38 mg, 78% yield), and the title compound was further purified as a foam; $[\alpha]^{25}_{D}$ = –77.143 (c 0.140, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.2, 1.0 Hz, 1H), 7.37 (t, *J* = 8.2 Hz, 1H), 7.29 (dd, *J* = 8.3, 1.0 Hz, 1H), 7.18 – 7.06 (m, 4H), 6.75 (dd, *J* = 7.8, 1.8 Hz, 2H), 5.10 (d, *J* = 2.3 Hz, 1H), 5.04 (d, *J* = 7.4 Hz, 1H), 4.97 (d, *J* = 7.0 Hz, 1H), 4.90 (d, *J* = 7.0 Hz, 1H), 4.45 (d, *J* = 7.4 Hz, 1H), 3.91 (s, 3H), 1.37 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.11, 163.81, 148.25, 144.42, 142.56, 138.80, 136.34, 131.23, 130.74, 128.29, 128.22, 127.71, 126.12, 124.66, 113.92, 84.54, 79.19, 77.73, 66.35, 58.27, 55.14, 51.93, 27.79; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}ClNO_6$ $[M+H]^+$ = 496.1521, found = 496.1525; **HPLC**: The ee value was 63%, t_R (major) = 17.80 min, t_R (minor) = 23.11 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	15.252	31399889	49.18	702972
2	19.159	32450860	50.82	543317

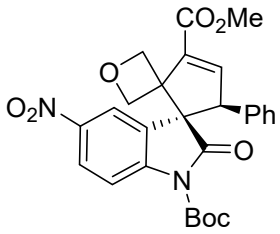
Racemic ***anti*-4bp**



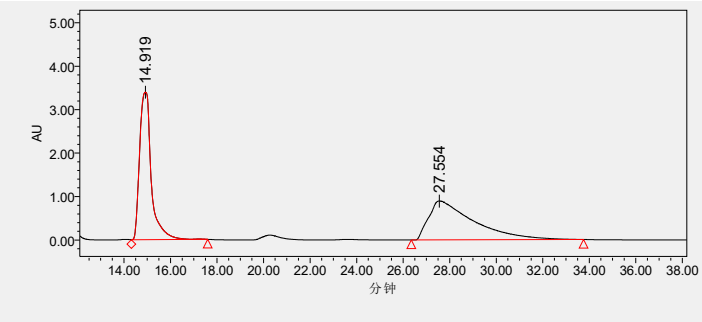
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	17.807	17554952	81.68	357316
2	23.115	3938228	18.32	63929

Enantiomerically enriched ***anti*-4bp**

1-(tert-butyl) 3'-methyl (3S,5'S)-5-nitro-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3'-dicarboxylate ***(anti-4bq)***

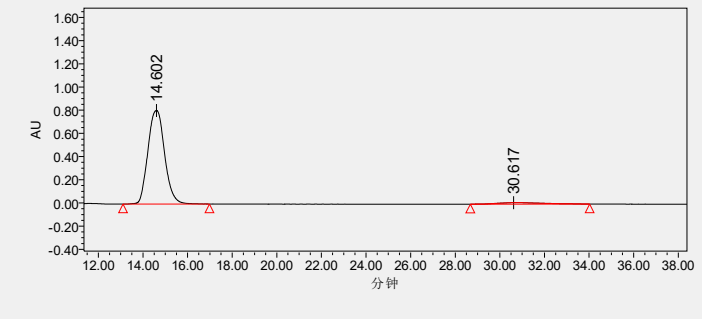


According to the general procedure to afford the mixture of two isomers (43 mg, 86% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –104.730 (c 0.148, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.5 Hz, 2H), 7.99 (d, *J* = 9.7 Hz, 1H), 7.25 – 7.12 (m, 3H), 7.09 (d, *J* = 2.1 Hz, 1H), 6.74 (d, *J* = 7.6 Hz, 2H), 5.35 – 5.27 (m, 1H), 5.07 – 4.98 (m, 2H), 4.36 – 4.27 (m, 2H), 3.95 (s, 3H), 1.43 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.25, 163.64, 147.93, 146.03, 144.72, 143.83, 138.22, 134.74, 128.53, 128.34, 127.98, 125.84, 120.27, 115.33, 85.48, 78.03, 76.28, 65.59, 60.41, 57.03, 52.13, 27.81; **HRMS** (ESI): m/z calcd for $C_{27}H_{27}N_2O_8$ $[M+H]^+$ = 507.1762, found = 507.1769; **HPLC**: The ee value was 92%, t_R (major) = 14.60 min, t_R (minor) = 30.61 min (Chiralpak IE 3, λ = 254 nm, 20% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	14.919	118208689	49.50	3395133
2	27.554	120612360	50.50	894351

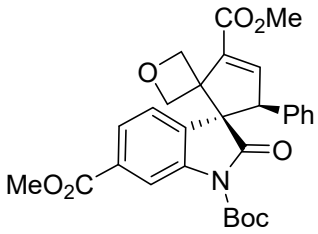
Racemic ***anti*-4bq**



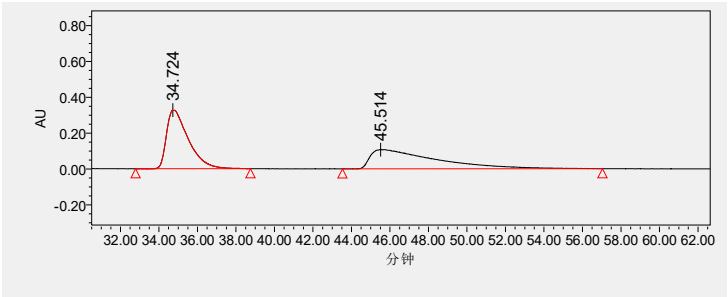
peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	14.602	41972610	96.06	807096
2	30.617	1722519	3.94	12450

Enantiomerically enriched ***anti*-4bq**

1-(tert-butyl) 3',6-dimethyl (3S,5'S)-2-oxo-5'-phenyldispiro[indoline-3,1'-cyclopentane-2',3''-oxetan]-3'-ene-1,3',6-tricarboxylate ***(anti-4br)***

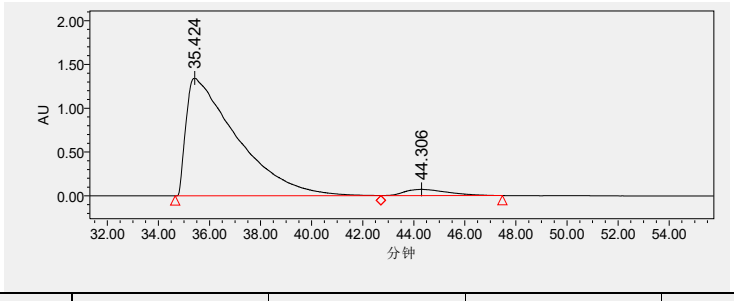


According to the general procedure to afford the mixture of two isomers (45 mg, 87% yield), and the title compound was further purified as a foam; **[α]$^{25}_{D}$** = –76.389 (c 0.144, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, J = 1.5 Hz, 1H), 8.06 (dd, J = 7.9, 1.5 Hz, 1H), 7.50 (d, J = 7.9 Hz, 1H), 7.15 (ddd, J = 14.5, 7.9, 6.3 Hz, 3H), 7.08 (d, J = 2.2 Hz, 1H), 6.76 – 6.68 (m, 2H), 5.27 (d, J = 7.2 Hz, 1H), 5.02 (d, J = 6.7 Hz, 1H), 4.95 (d, J = 6.7 Hz, 1H), 4.35 (d, J = 7.2 Hz, 1H), 4.29 (d, J = 2.2 Hz, 1H), 3.95 (s, 3H), 3.93 (s, 3H), 1.44 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$): δ 172.69, 166.43, 163.82, 148.12, 144.17, 141.01, 138.36, 135.13, 131.90, 131.42, 128.41, 128.08, 127.98, 126.22, 124.72, 116.17, 84.61, 78.17, 76.40, 65.85, 60.33, 56.88, 52.51, 52.03, 27.87; **HRMS** (ESI): m/z calcd for $C_{29}H_{30}NO_8$ $[M+H]^+$ = 520.1966, found = 520.1970; **HPLC**: The ee value was 91%, t_R (major) = 35.42 min, t_R (minor) = 44.30 min (Chiralpak IE 3, λ = 254 nm, 40% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	34.724	25751957	50.58	327092
2	45.514	25156706	49.42	106957

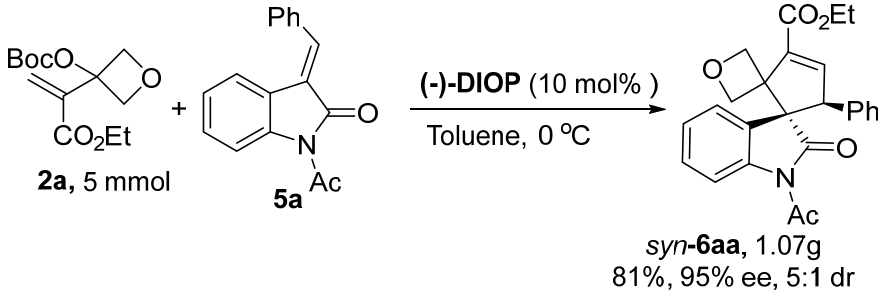
Racemic ***anti*-4br**



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	35.424	185718908	95.63	1345763
2	44.306	8482460	4.37	71819

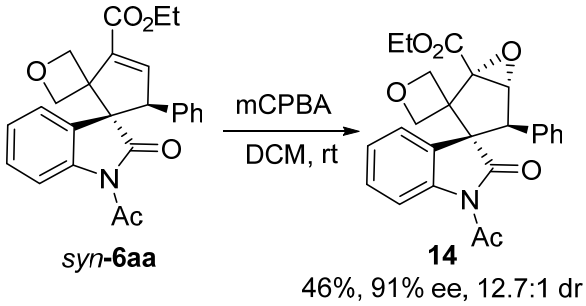
Enantiomerically enriched ***anti*-4br**

H. Gram scale synthesis of ***syn*-6aa** and its transformation



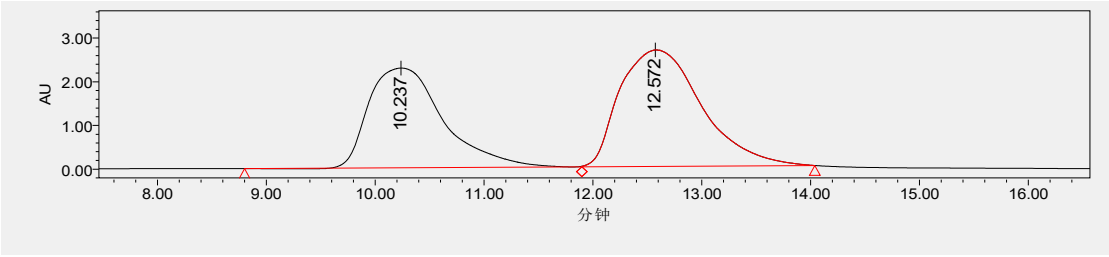
To the solution of **2a** (5.0 mmol, 1.30 g, 1.0 equiv.) and **5a** (1.28 g, 5.60 mmol, 1.5 equiv.) in anhydrous toluene (20 mL) at 0 ºC was added **(-)-DIOP** (250 mg, 0.5 mmol, 0.1 equiv.), and the resulting mixture was stirred overnight. When MBH carbonate **2a** was consumed monitored by TLC, the reaction mixture was purified directly by flash column chromatography (hexane/ ethyl acetate = 8/1) to afford a mixture of two isomers 1.07 g, 81% yield. The ^{1}HNMR show that the dr value was 5:1.Further purification was carried out via flash column chromatography to get pure ***syn*-6aa**, and the ee value was 95%.

Epoxidation



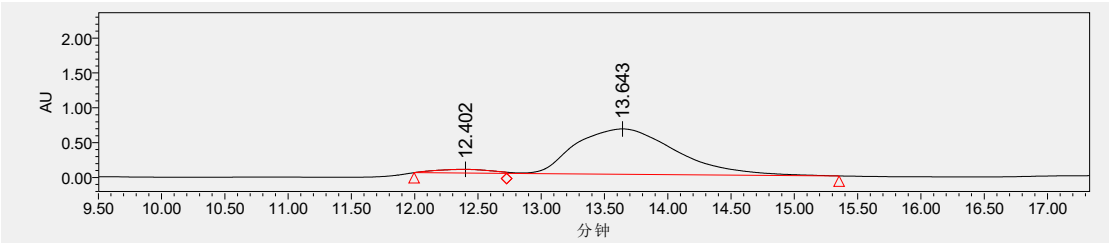
To the solution of ***syn*-6aa** (83.4 mg, 0.20 mmol, 1.0 equiv.) in DCM (2.0 mL) was added *m*CPBA (0.40 mmol, 2.0 equiv.) at 0 ºC. The reaction mixture was stirred at room temperature for 6 h and then concentrated under vacuum to remove the solvent. The residue was purified by silica gel column chromatography (petroleum ether: ethyl acetate = 5:1) to give **14** as a pale yellow solid (79.7 mg, 46% yield, 91% ee, 12.7:1 dr). M.p. 110.7 – 116.9 ºC; $[\alpha]^{25}_{D}$ = +23.377 (c 0.20, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 7.8 Hz, 1H), 8.06 (t, *J* = 9.5 Hz, 1H), 7.41 (dd, *J* = 12.6, 7.4 Hz, 3H), 7.23 – 7.07 (m, 5H), 6.73 (d, *J* = 7.2 Hz, 2H), 6.58 (d, *J* = 6.5 Hz, 1H), 5.28 (d, *J* = 6.8 Hz, 1H), 5.24 (d, *J* = 7.0 Hz, 1H), 5.12 (d, *J* = 6.8 Hz, 1H), 5.04 (d, *J* = 6.6 Hz, 1H), 5.01 (s, 1H), 4.96 (d, *J* = 6.6 Hz, 1H), 4.48 – 4.38 (m, 2H), 4.35 (d, *J* = 7.0 Hz, 1H), 4.32 (s, 1H), 2.57 (s, 1H), 2.17 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.9, 170.1, 163.3, 143.7, 140.8, 138.7, 135.4, 129.8, 129.5, 128.7, 128.3, 128.1, 127.8, 127.2, 125.3, 124.4, 116.5,

77.8, 76.4, 65.5, 61.0, 60.3, 56.7, 26.1, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{24}NO_6$ $[M+H]^+$ = 434.1598, found = 434.1606; **HPLC**: The ee value was 91%, t_R (minor) =12.40 min, t_R (major) = 13.64 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	10.237	108900158	43.92	2280452
2	12.572	139028131	56.08	2664111

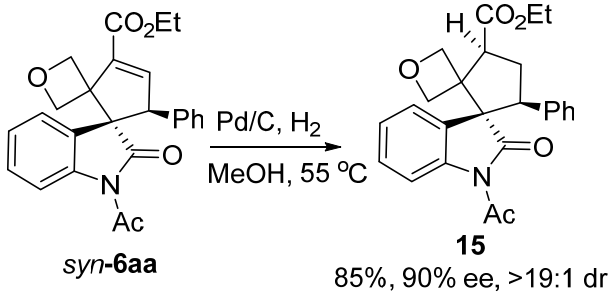
Racemic **14**



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	12.402	1604383	4.25	53147
2	13.643	36117986	95.75	650526

Enantiomerically enriched **14**

Hydrogenation



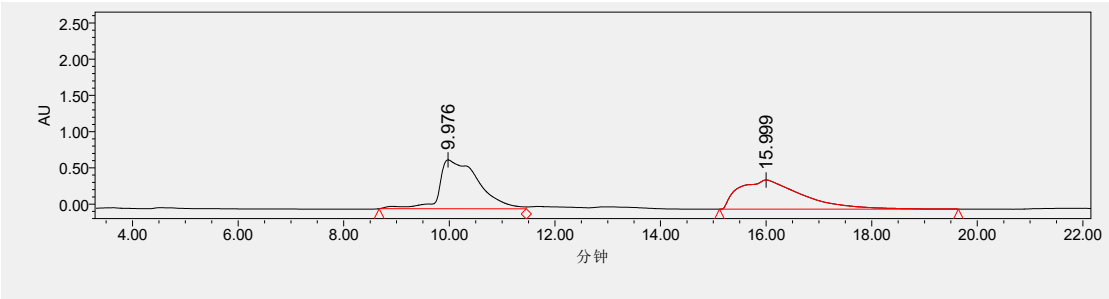
syn-**6aa**

15

85%, 90% ee, >19:1 dr

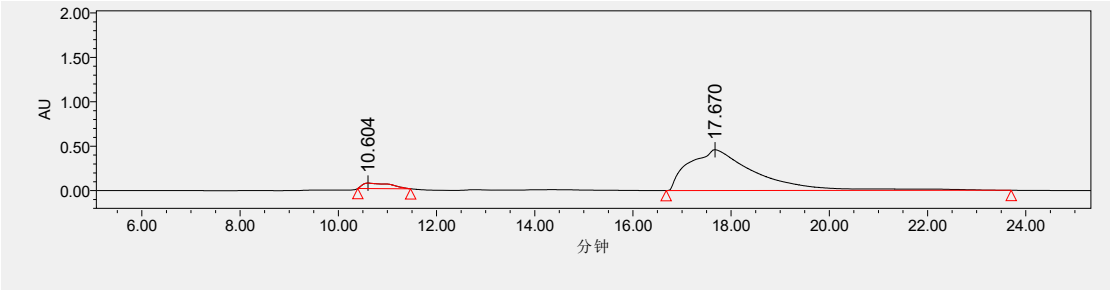
To the solution of ***syn*-6aa** (83.4 mg, 0.20 mmol, 1.0 equiv.) in MeOH (2.0 mL) was added 10% Pd/C (10 mg), and the mixture was stirred at 55 °C under a hydrogen atmosphere using balloon for 24 h. The reaction mixture was filtered through a short pad of Celite and the filtrate was concentrated under vacuum to give **15** (35.6 mg, 85% yield) as a white solid; M.p. 126.0 – 129.4 °C; $[\alpha]^{25}_D$ = -14.286 (c 0.23, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ dr value >19:1; 8.15 – 8.10 (m, 1H), 8.02 (d, *J* = 8.0 Hz, 1H), 7.17 (dd, *J* = 12.8, 7.1 Hz, 2H), 7.10 – 6.98 (m, 4H), 6.83 (d, *J* =

5.2 Hz, 2H), 5.02 (d, *J* = 6.6 Hz, 1H), 5.02 (d, *J* = 6.6 Hz, 1H), 4.72 (d, *J* = 6.5 Hz, 1H), 4.72 (d, *J* = 6.5 Hz, 1H), 4.36 (d, *J* = 7.1 Hz, 3H), 4.02 (d, *J* = 7.2 Hz, 1H), 3.69 (dd, *J* = 13.5, 4.6 Hz, 1H), 3.51 (dd, *J* = 10.7, 7.4 Hz, 1H), 2.86 (q, *J* = 12.8 Hz, 1H), 2.69 (s, 3H), 2.36 (dd, *J* = 12.5, 5.8 Hz, 1H), 1.40 (dd, *J* = 10.0, 4.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 178.8, 172.9, 170.3, 139.5, 136.1, 128.5, 127.9, 127.4, 126.8, 125.0, 124.7, 116.2, 79.9, 64.0, 61.4, 55.2, 52.9, 32.5, 26.8, 14.2; **HRMS** (ESI): m/z calcd for $C_{25}H_{25}NO_5$ $[M+H]^+$ = 420.1805, found = 420.1807; The ee value was 90%, t_R (minor) =10.60 min, t_R (major) = 17.67 min (Chiralpak IA 3, λ = 254 nm, 10% *i*-PrOH/hexane, flow rate = 1.0 mL/min).



peak	Retention time (min)	Area (μV*s)	Area (%)	Height
1	9.976	35200350	51.32	674747
2	15.999	33388565	48.68	402869

Racemic **15**



peak	Retention time (min)	Area (μV*s)	Area (%)	Height (%)
1	10.604	2458864	5.31	60744
2	17.670	43846303	94.69	459714

Enantiomerically enriched **15**

I. X-Ray crystallographic analysis of ***syn*-4aa**, ***syn*-6ar** and ***anti*-4aa**

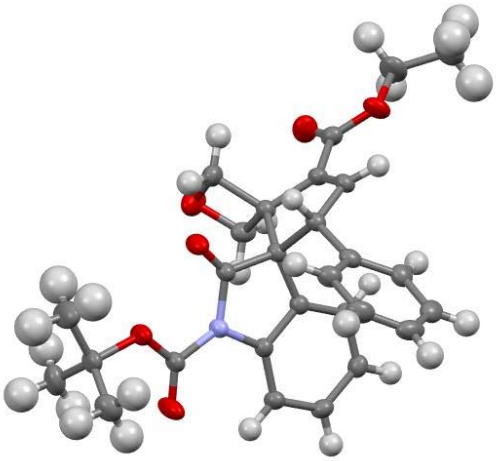


Figure S1. X-ray structure of ***syn*-4aa** displacement ellipsoid are drawn at 50% probability level. The title compound was recrystallized from hexane/DCM, by slow evaporation of solvent.

Table S2. Crystal data and structure refinement for ***syn*-4aa (CCDC** 2361587**)**

Empirical formula	C28 H29 N O6
Formula weight	475.52
Temperature	170K
Wavelength	1.54178 Å
Crystal system	monoclinic
Space group	P 21
Unit cell dimensions	a = 8.9244 (3) Å α = 90 b = 10.4924 (3) Å β = 90.9920(10) c = 13.3388 (4) Å γ = 90
Volume	1248.84(7) $Å^3$
Z	2
Density (calculated)	1.265 Mg/m^3
Absorption coefficient	0.726
F(000)	504
Crystal size	0.45*0.36*0.3 mm^3
Theta range for data collection	3.31 to 68.32°
Index ranges	-10<=h<=10, -11<=k<=12, -16<=l<=16
Reflections collected	19964
Independent reflections	4516 [R(int) = 0.0245]
Completeness to theta = 24.999°	100.0 %
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4516/ 1/ 320
Goodness-of-fit on F^2	0.924
Final R indices [I>2sigma(I)]	R1 = 0.028, wR2 = 0.0949
R indices (all data)	R1 = 0.0279, wR2 = 0.0947
Largest diff. peak and hole	0.133 and -0.159 $e.Å^{-3}$

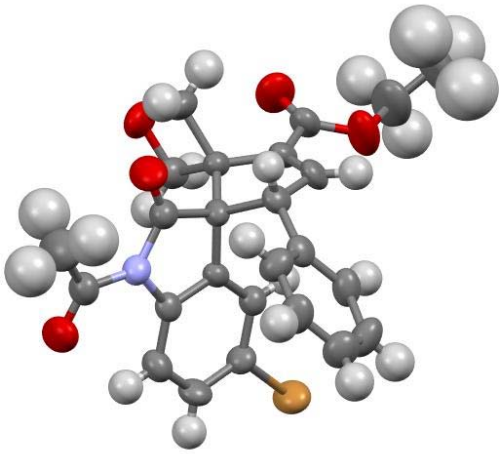


Figure S2. X-ray structure of ***syn*-6ar** displacement ellipsoid are drawn at 50% probability level. The title compound was recrystallized from hexane/DCM, by slow evaporation of solvent.

Table S3. Crystal data and structure refinement for ***syn*-6ar (CCDC** 2361585**)**

Empirical formula	C25 H22 Br N O5
Formula weight	496.34
Temperature	296(2)K
Wavelength	0.71073 Å
Crystal system	monoclinic
Space group	P 1 21 1
Unit cell dimensions	a = 8.958(4) Å α = 90
	b = 13.864(6) Å β = 92.036(8)
	c = 9.026(4) Å γ = 90
Volume	1120.3(9) $Å^3$
Z	2
Density (calculated)	1.471 Mg/m^3
Absorption coefficient	0.827
F(000)	508
Crystal size	0.18*0.16*0.14 mm^3
Theta range for data collection	2.28 to 22.36°
Index ranges	-10<=h<=10, -14<=k<=16, -10<=l<=6
Reflections collected	4355
Independent reflections	3275 [R(int) = 0.0435]
Completeness to theta = 24.999°	100.0 %
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	3275/ 1/ 291
Goodness-of-fit on F^2	0.926
Final R indices [I>2sigma(I)]	R1 = 0.0553, wR2 = 0.0872
R indices (all data)	R1 = 0.0383, wR2 = 0.0826
Largest diff. peak and hole	0.252 and -0.282 $e.Å^{-3}$

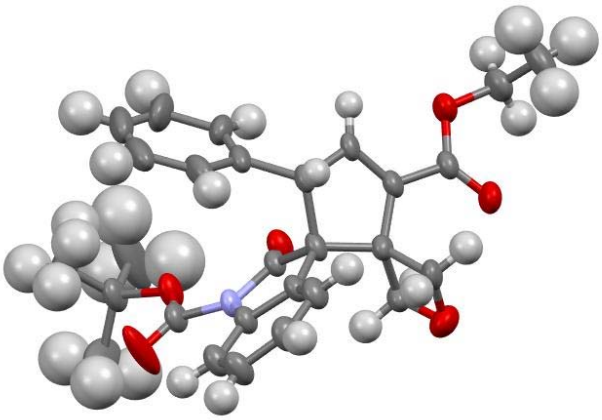
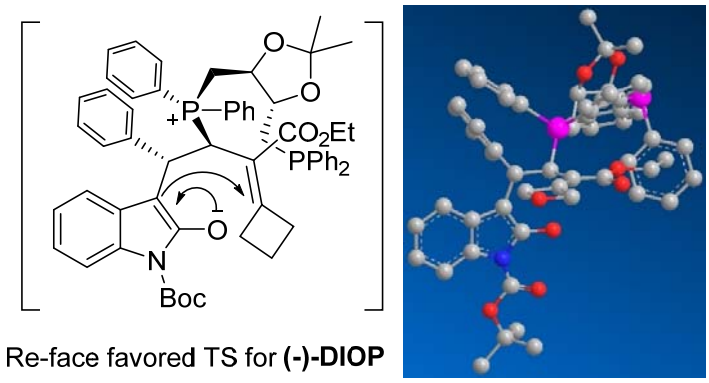


Figure S3. X-ray structure of ***anti*-4aa** displacement ellipsoid are drawn at 50% probability level. The title compound was recrystallized from hexane/DCM, by slow evaporation of solvent.

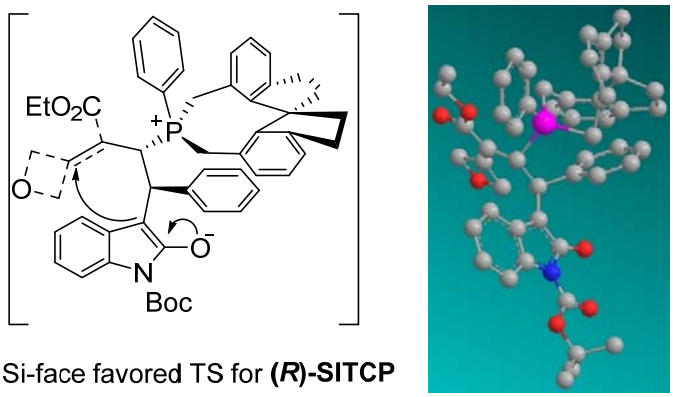
Table S4. Crystal data and structure refinement for ***anti*-4aa (CCDC** 2361586**)**

Empirical formula	C28 H30 N O6
Formula weight	476.53
Temperature	170K
Wavelength	1.54178 Å
Crystal system	orthorhombic
Space group	P 21
Unit cell dimensions	a = 7.8531(5) Å α = 90
	b = 8.9782(6) Å β = 90
	c = 35.617(2) Å γ = 90
Volume	2511.2(3) $Å^3$
Z	4
Density (calculated)	1.260 Mg/m^3
Absorption coefficient	0.722
F(000)	1012
Crystal size	0.16*0.15*0.13 mm^3
Theta range for data collection	2.48 to 69.46°
Index ranges	-9<=h<=7, -10<=k<=10, -43<=l<=43
Reflections collected	27527
Independent reflections	4661 [R(int) = 0.0825]
Completeness to theta = 24.999°	100.0 %
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4661/ 0/ 319
Goodness-of-fit on F^2	1.188
Final R indices [I>2sigma(I)]	R1 = 0.0883, wR2 = 0.2937
R indices (all data)	R1 = 0.0852, wR2 = 0.2854
Largest diff. peak and hole	0.320 and -0.360 $e.Å^{-3}$

J. Transition state for the reaction



Re-face favored TS for **(-)-DIOP**



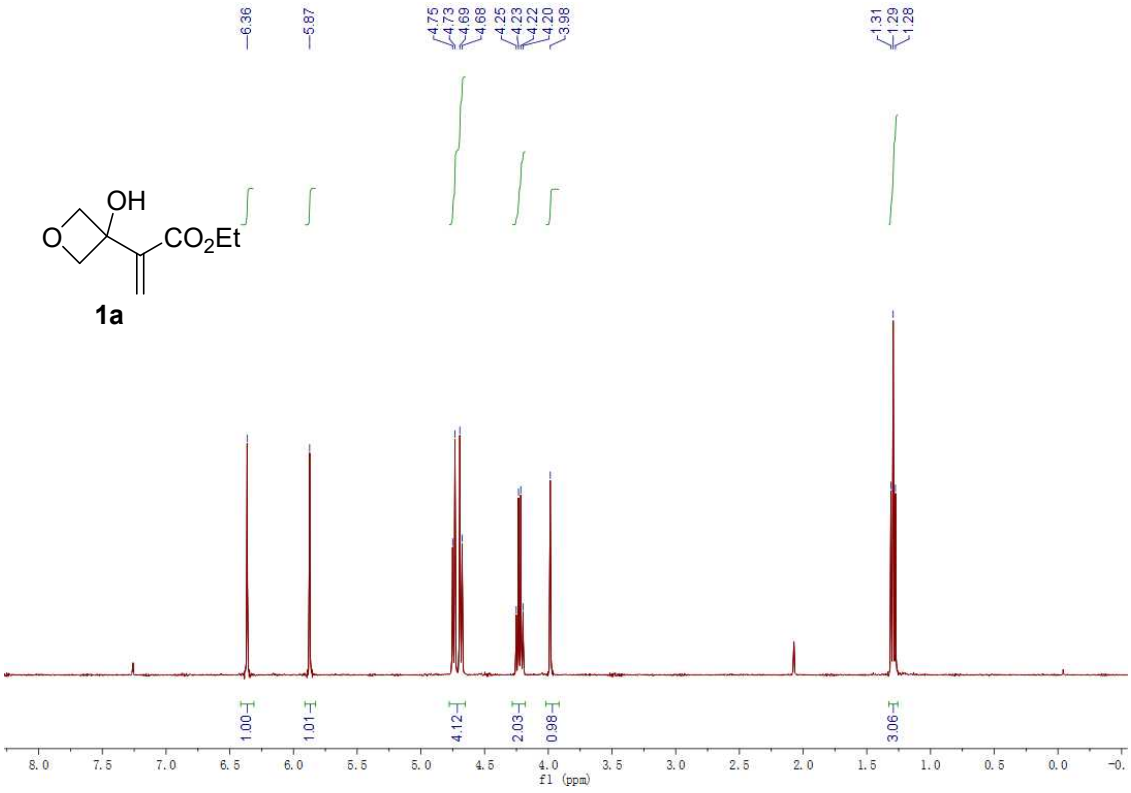
Si-face favored TS for **(*R*)-SITCP**

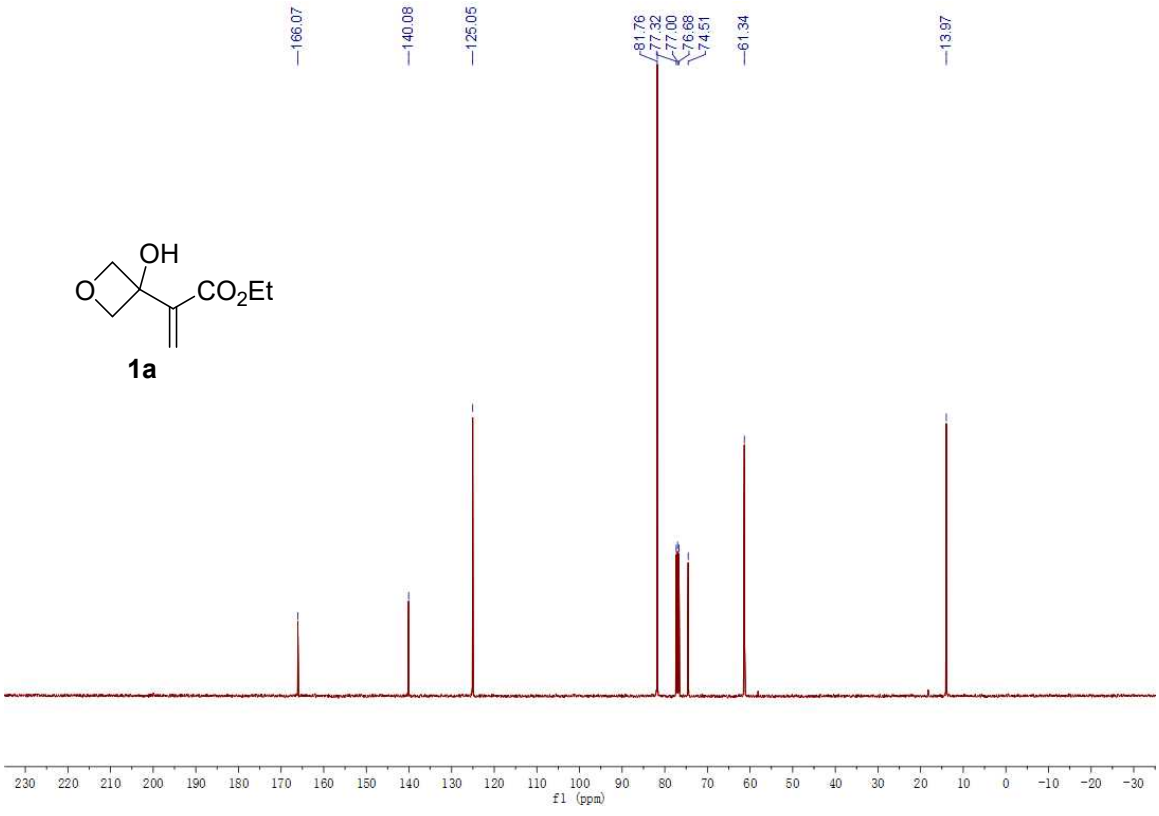
K. References

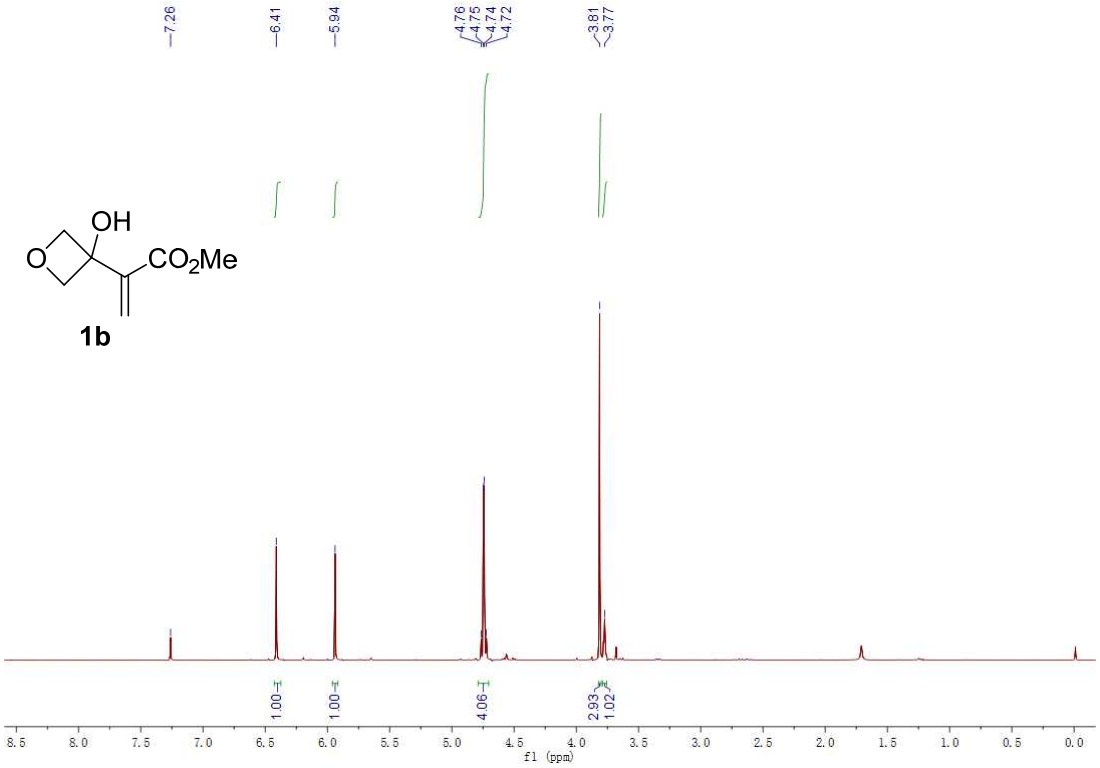
(1) (a) Gao, Y.; Zhang, J.; Shan, W.; Fei, W.; Yao, J.; Yao, W. Enantioselective Phosphine-Catalyzed Trimerization of γ-Aryl-3-butynoates via Isomerization/[3 + 2] Cyclization/Michael Addition Cascade. *Org. Lett.* **2021**, *23*, 6377–6381. (b) Zhang, J.; Hao, W.; Chen, Y.; Wang, Z.; Yao, J.; Yao, W. Phosphine-catalyzed Rauhut–Currier reaction of γ-alkyl allenoate and subsequent trapping using the Diels–Alder reaction. *Chem. Commun.*, **2023**, *59*, 11720–11723. (c) Hou, J.; Hao, W.; Chen, Y.; Wang, Z; Yao, W. Phosphine-Catalyzed Stereospecific and Enantioselective Desymmetrizative [3+2] Cycloaddition of MBH Carbonates and N-(2-tert-Butylphenyl)maleimides. *J. Org. Chem*. **2024**, DOI:10.1021/acs.joc.4c00555.

(2) (a) Silm, E.; Järving, I.; Kanger, T. Asymmetric organocatalytic Michael addition of cyclopentane-1,2-dione to alkylidene oxindole. *Beilstein J. Org. Chem.* **2022**, *18*, 167–173. (b) Roy, P.; Anjum, S. R.; Sanwal, S. D.; Ramachary, D. B. Direct organocatalytic transfer hydrogenation and C–H oxidation: high-yielding synthesis of 3-hydroxy-3-alkyloxindoles. *Org. Biomol. Chem.* **2023**, *21*, 8335–8343. (c) Patra, A.; Bhunia, A.; Yetra, S. R.; Gonnadeb, R. G.; Biju, A. T. Diastereoselective synthesis of cyclopentanone-fused spirooxindoles by N-heterocyclic carbene-catalyzed homoenolate annulation with isatilidenes. *Org. Chem. Front.* **2015**, *2*, 1584–1588.

L. NMR Spectra



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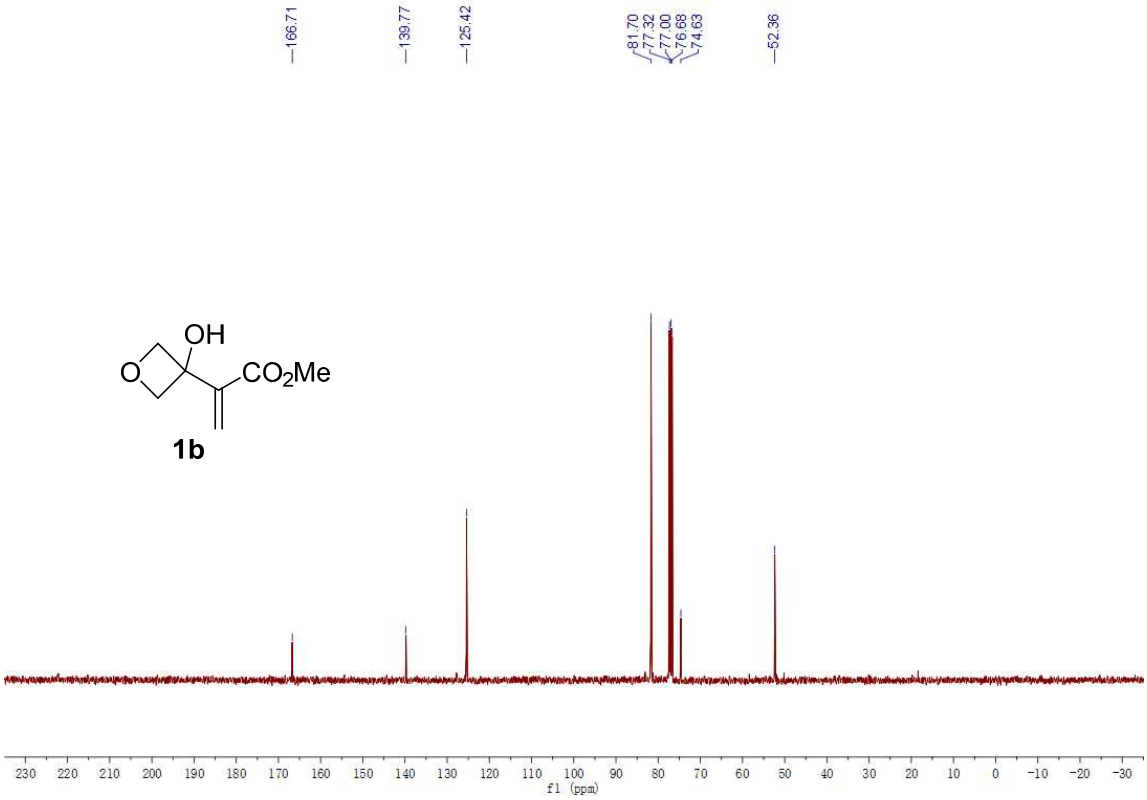
6.41
5.94
4.76
4.75
4.74
4.72
3.81
3.77
OH
O
CO2Me
1b
1.00
1.00
4.06
2.93
1.02
f1 (ppm)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77.32
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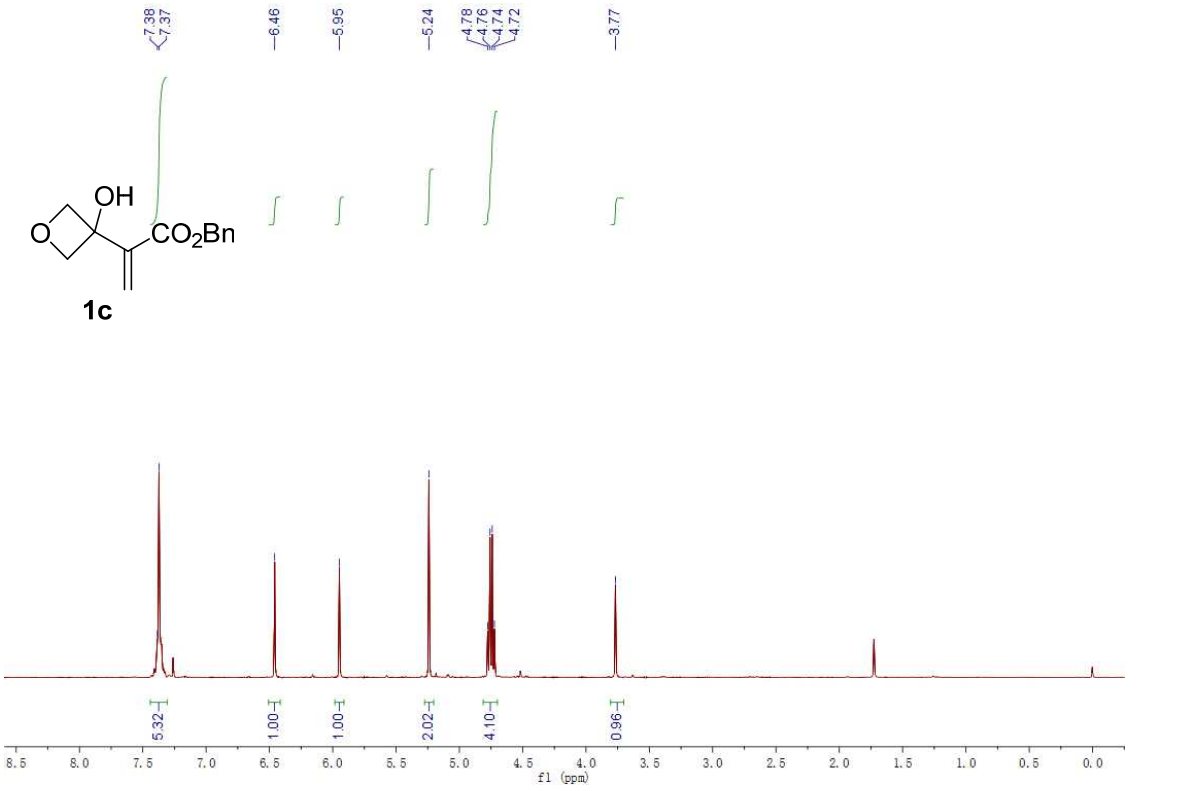
76.68
74.63
52.36
OH
O
CO2Me
1b
f1 (ppm)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4.76
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3.77
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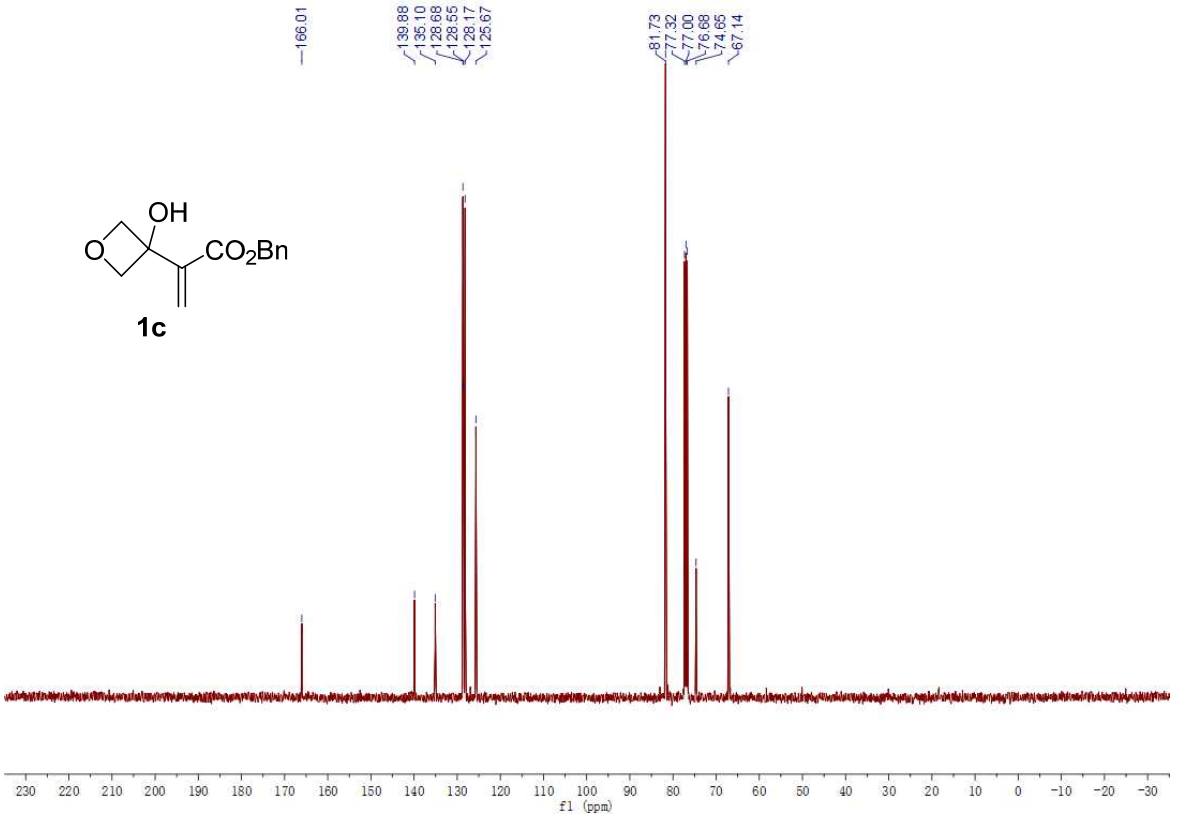
O
CO2Bn
1c
5.32
1.00
1.00
2.02
4.10
0.96
f1 (ppm)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77.00
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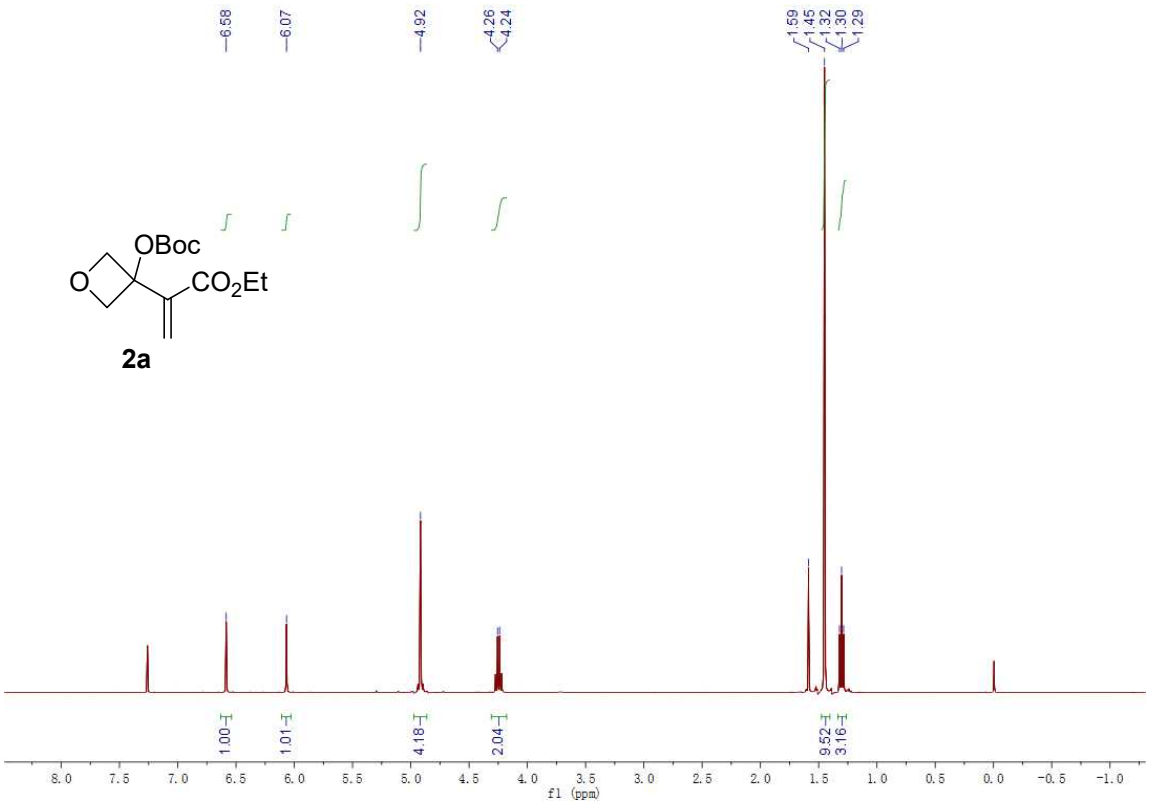
OH
O
CO2Bn
1c
f1 (ppm)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1.32
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O
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1.01
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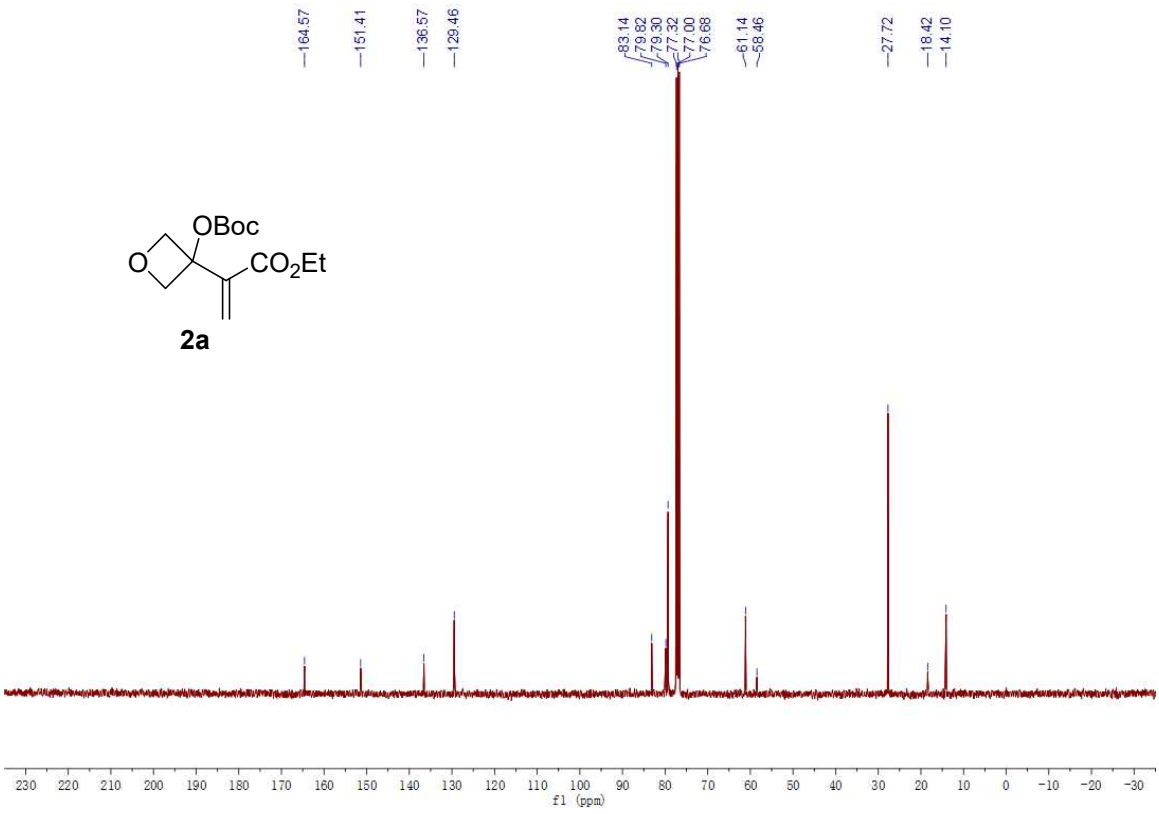
2.04
9.52
3.16
f1 (ppm)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79.30
77.32
77.00
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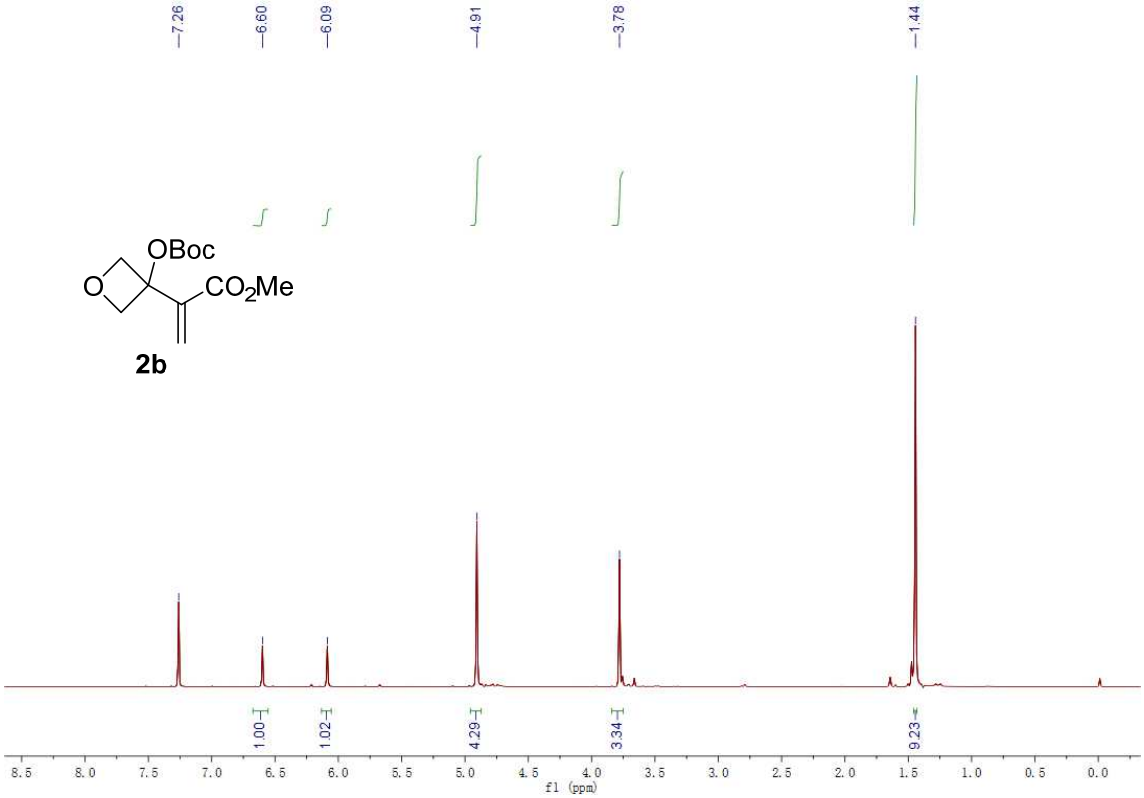
61.14
58.46
27.72
18.42
14.10
OBoc
O
CO2Et
2a
f1 (ppm)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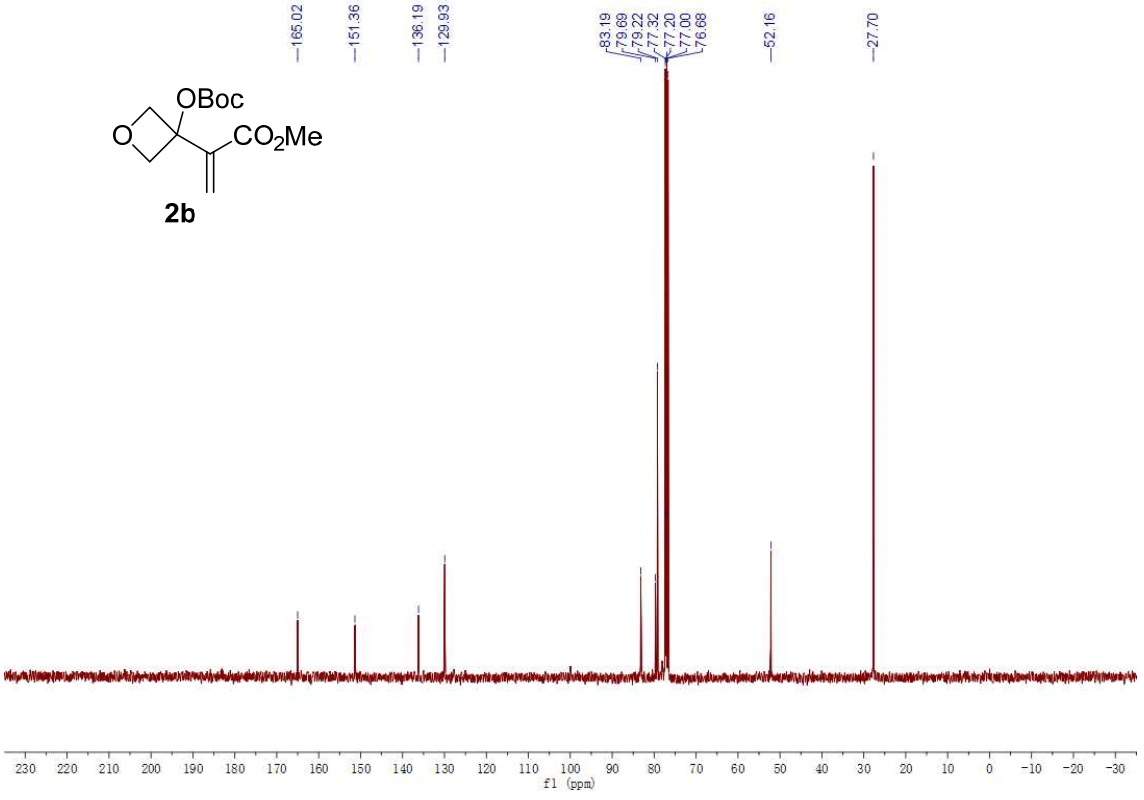
9.23
f1 (ppm)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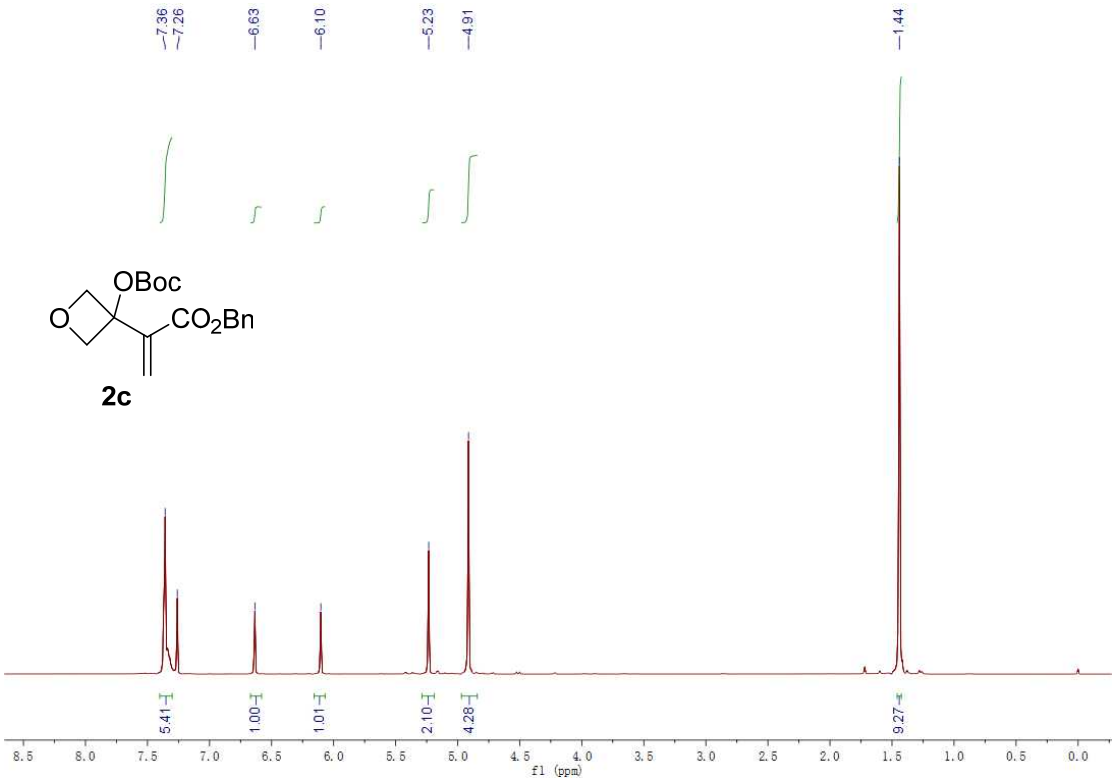
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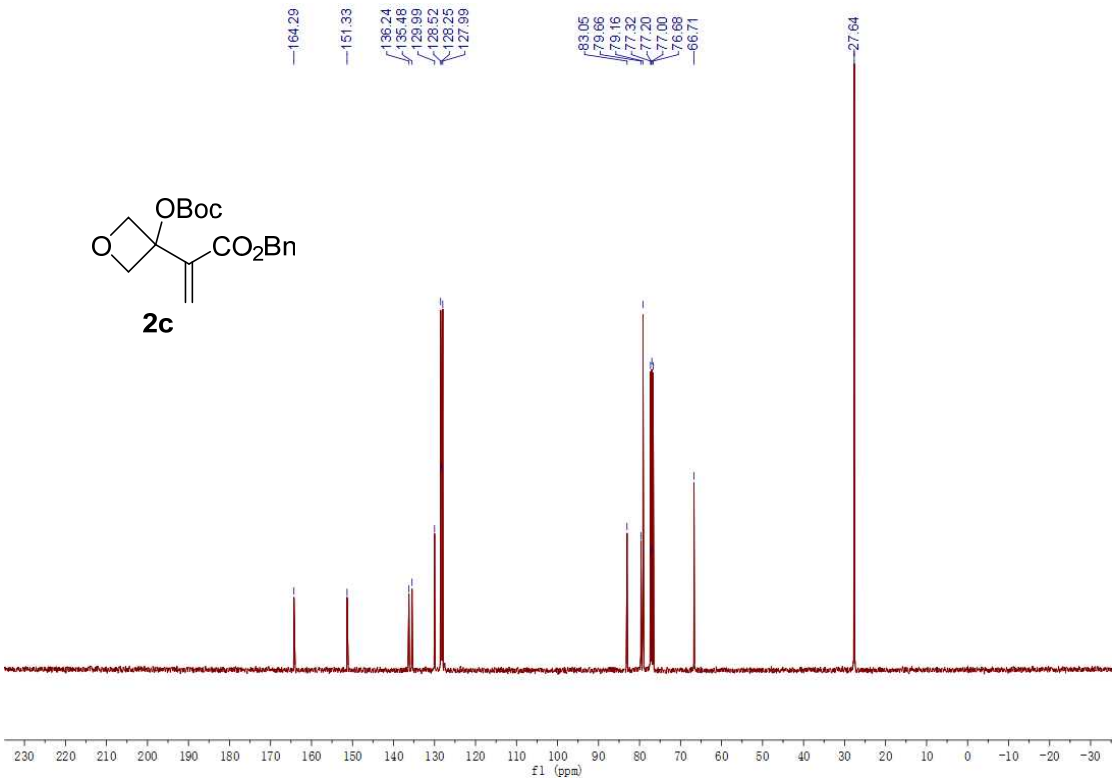
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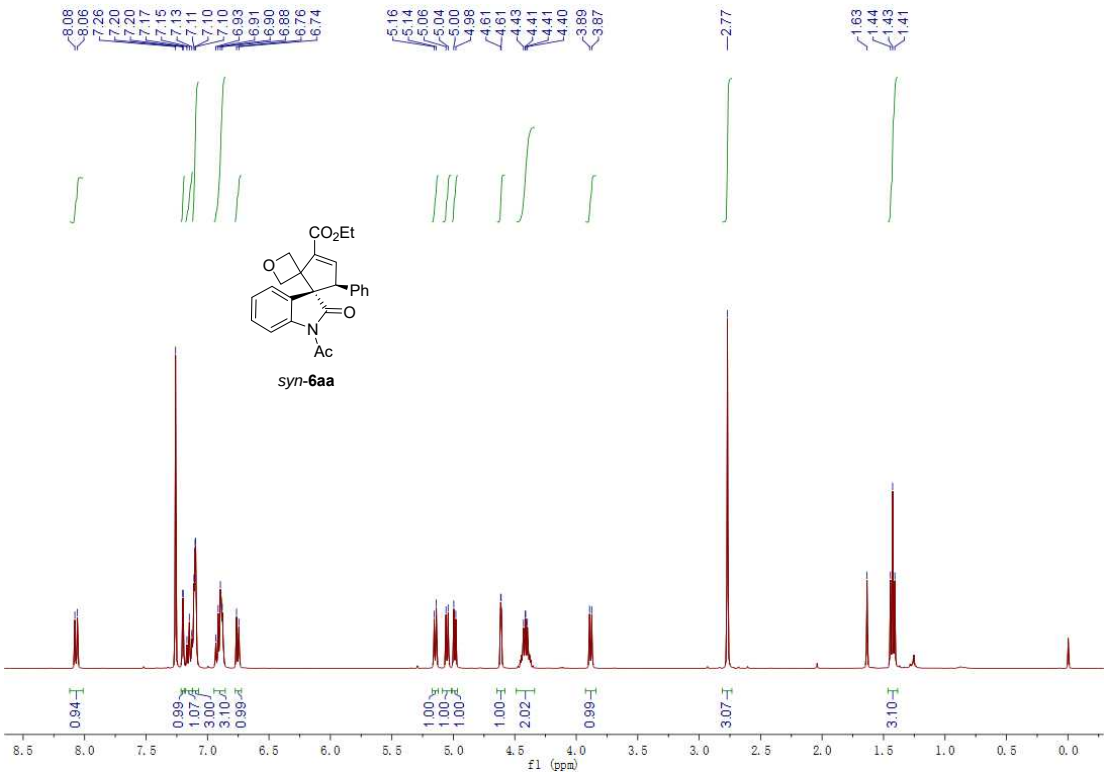
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4.61
4.43
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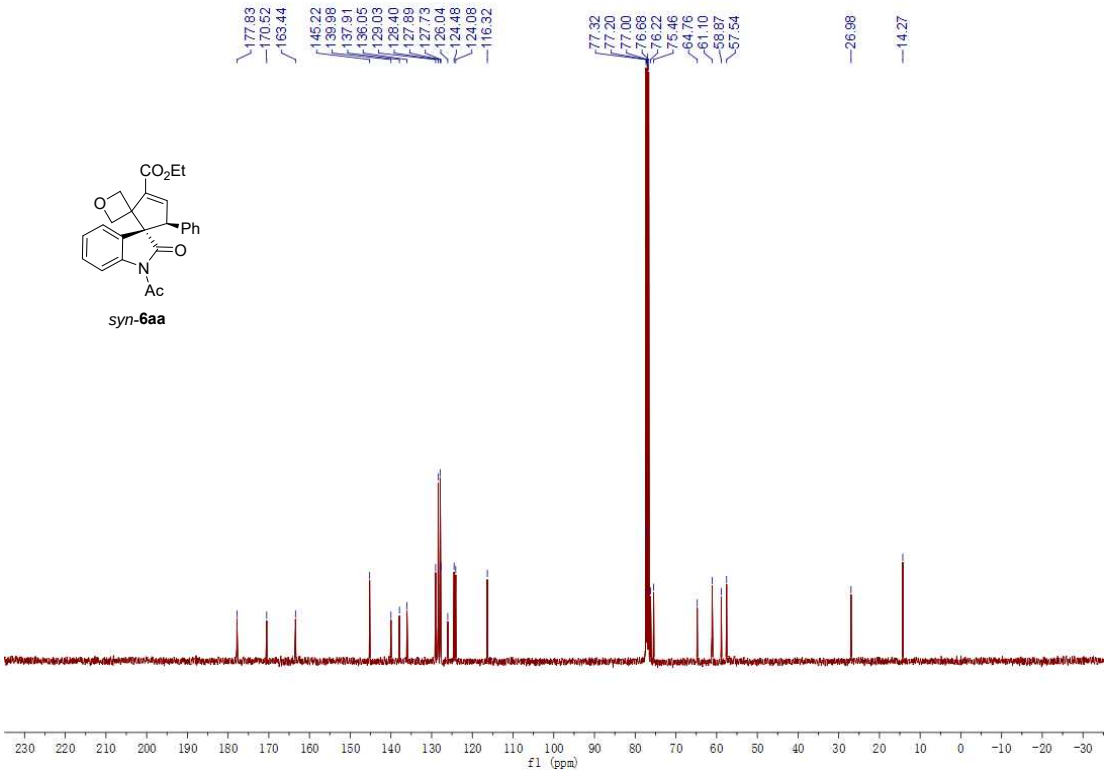
f1 (ppm)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124.08
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77.32
77.20
77.00
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CO2Et
O
Ph
O
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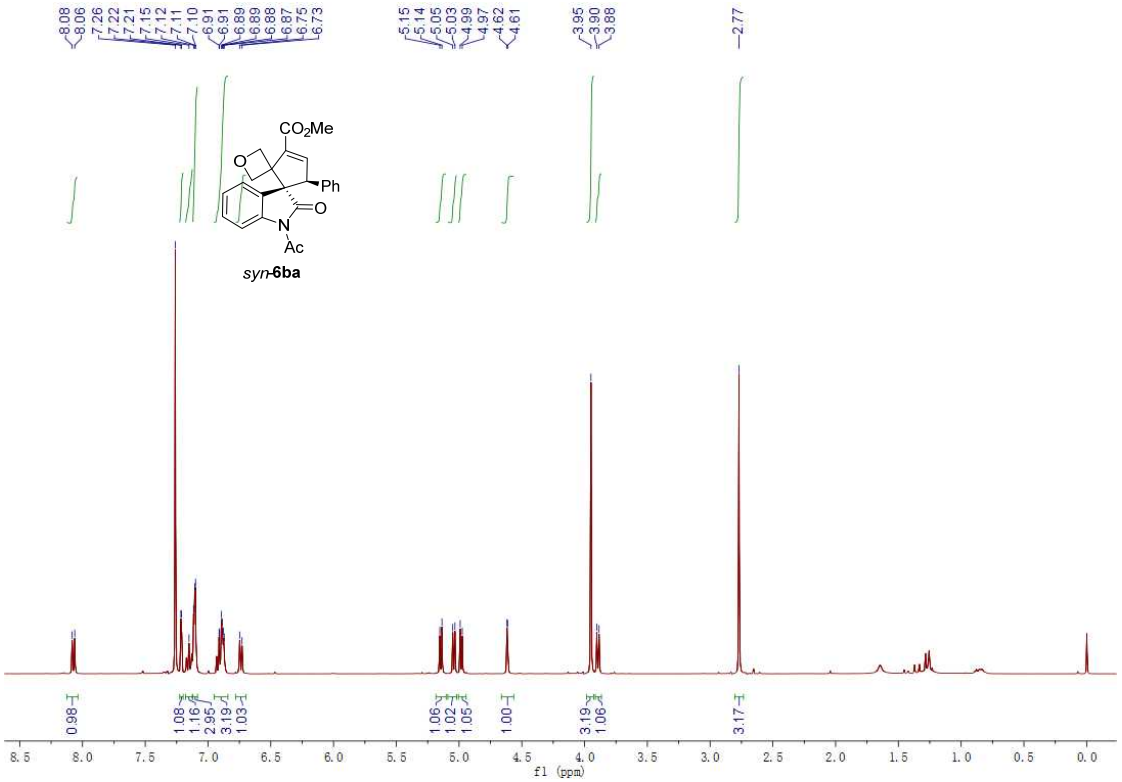
Ac
syn-6aa
f1 (ppm)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CO2Me
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Ph
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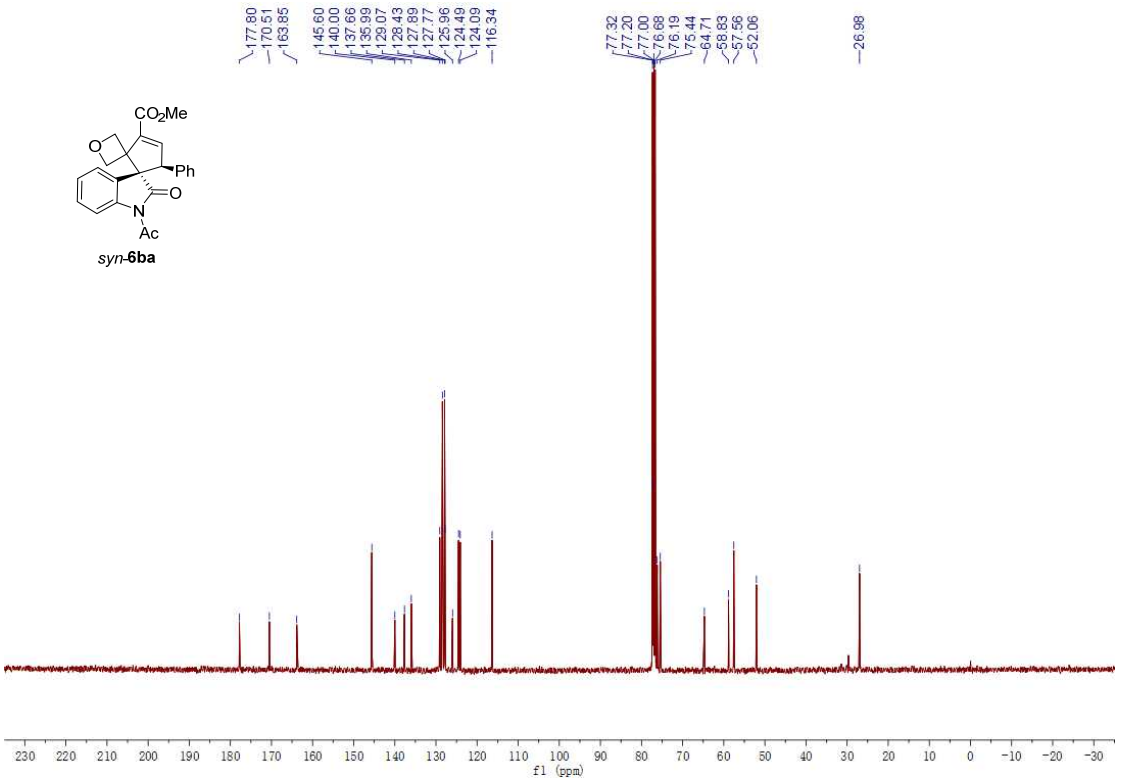
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77.00
76.68
76.19
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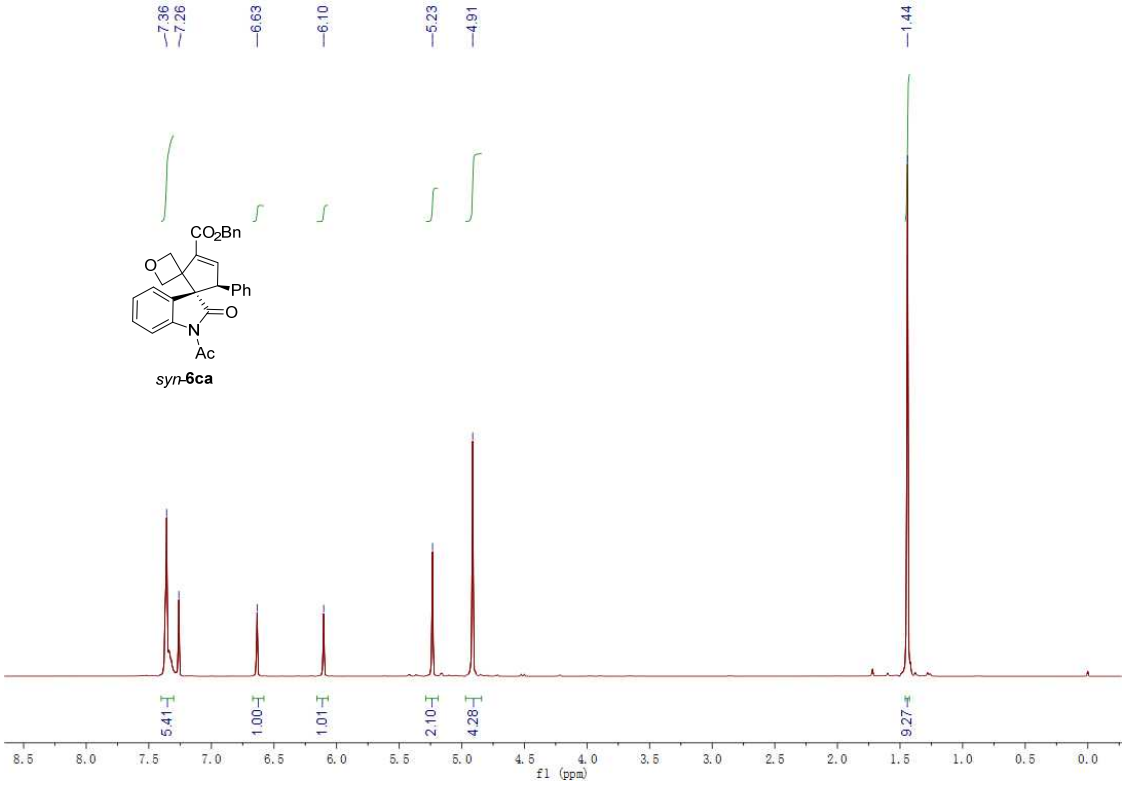
f1 (ppm)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Ph
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N
Ac
syn-6ca
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1.01
2.10
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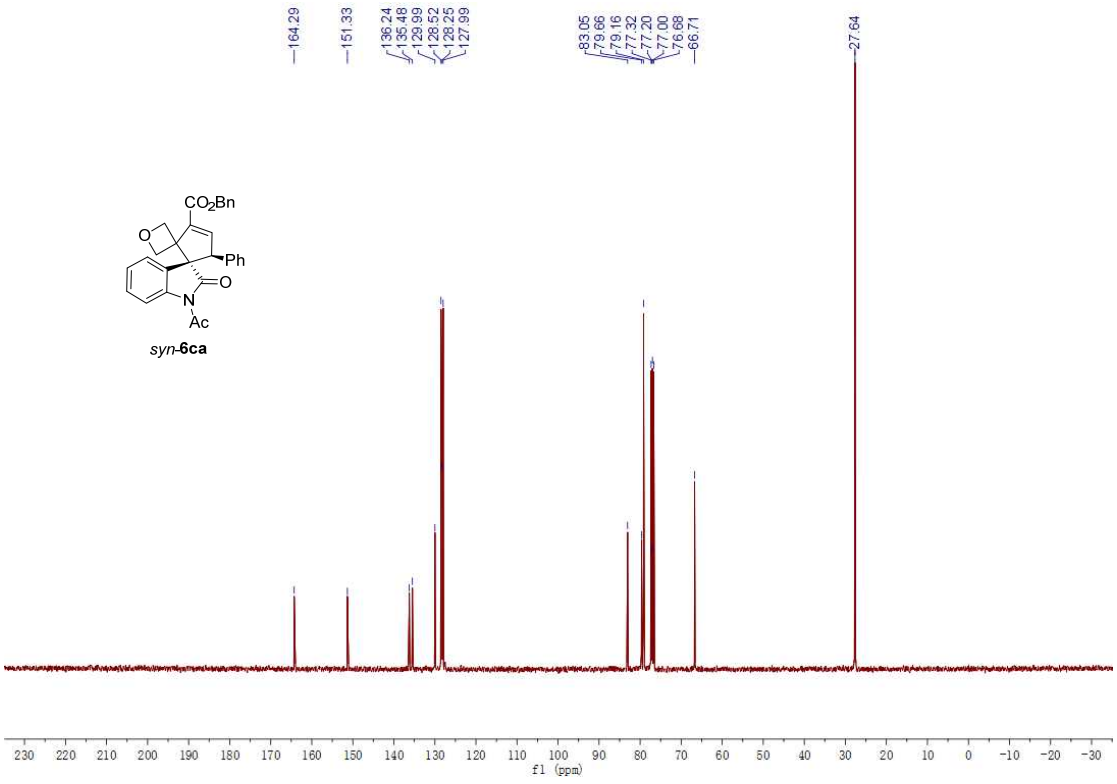
f1 (ppm)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66.71
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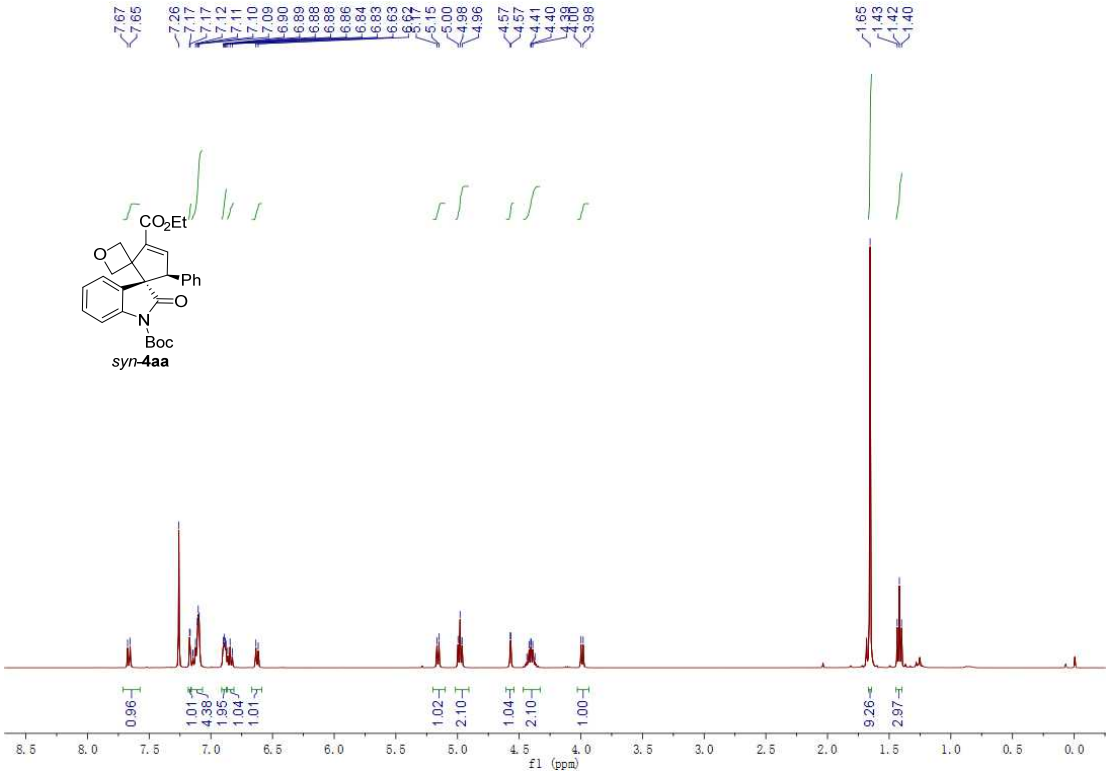
O
Ph
O
N
Ac
syn-6ca
f1 (ppm)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6.88
6.86
6.84
6.83
6.63
6.62
5.17
5.15
5.00
4.98
4.96
4.57
4.57
4.41
4.40
4.38
4.38
3.98
1.65
1.43
1.42
1.40
CO2Et
O
Ph
O
N
Boc
syn-4aa
0.96
1.01
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1.95
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1.01
1.02
2.10
1.04
2.10
1.00
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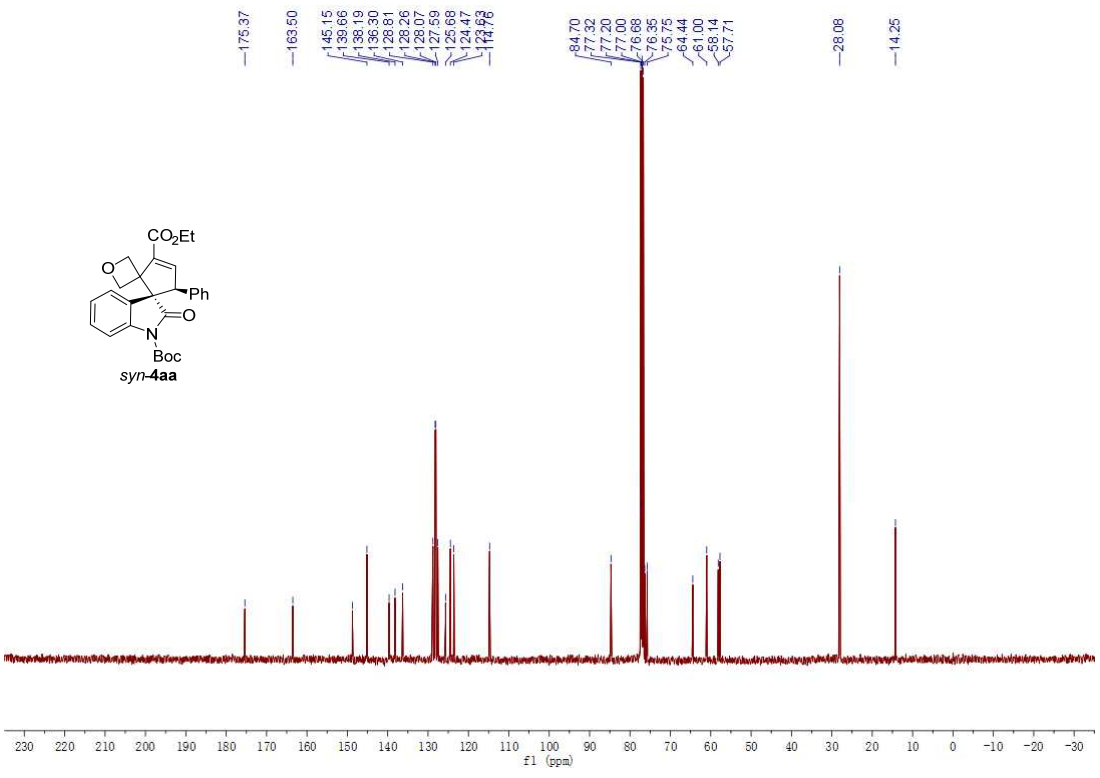
2.97
f1 (ppm)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128.26
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127.59
125.68
124.47
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77.20
77.00
76.68
76.35
75.75
64.44
61.00
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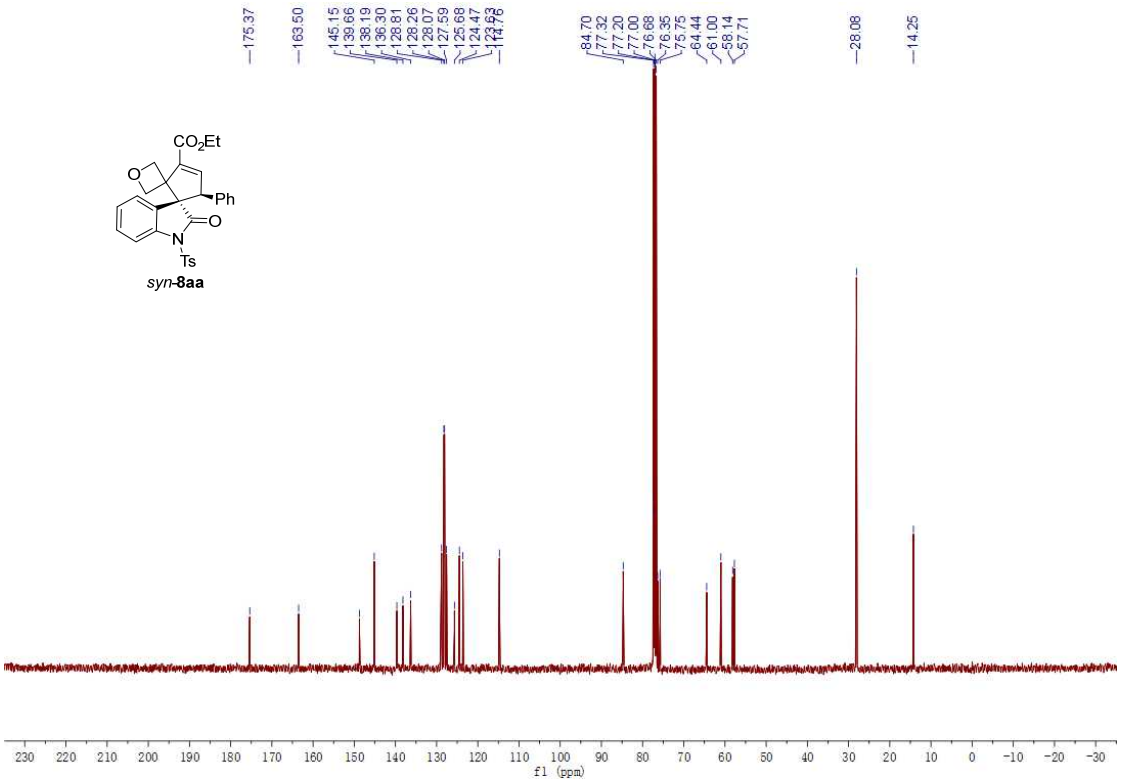
57.71
28.08
14.25
CO2Et
O
Ph
O
N
Boc
syn-4aa
f1 (ppm)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77.20
77.00
76.68
76.35
75.75
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57.71
28.08
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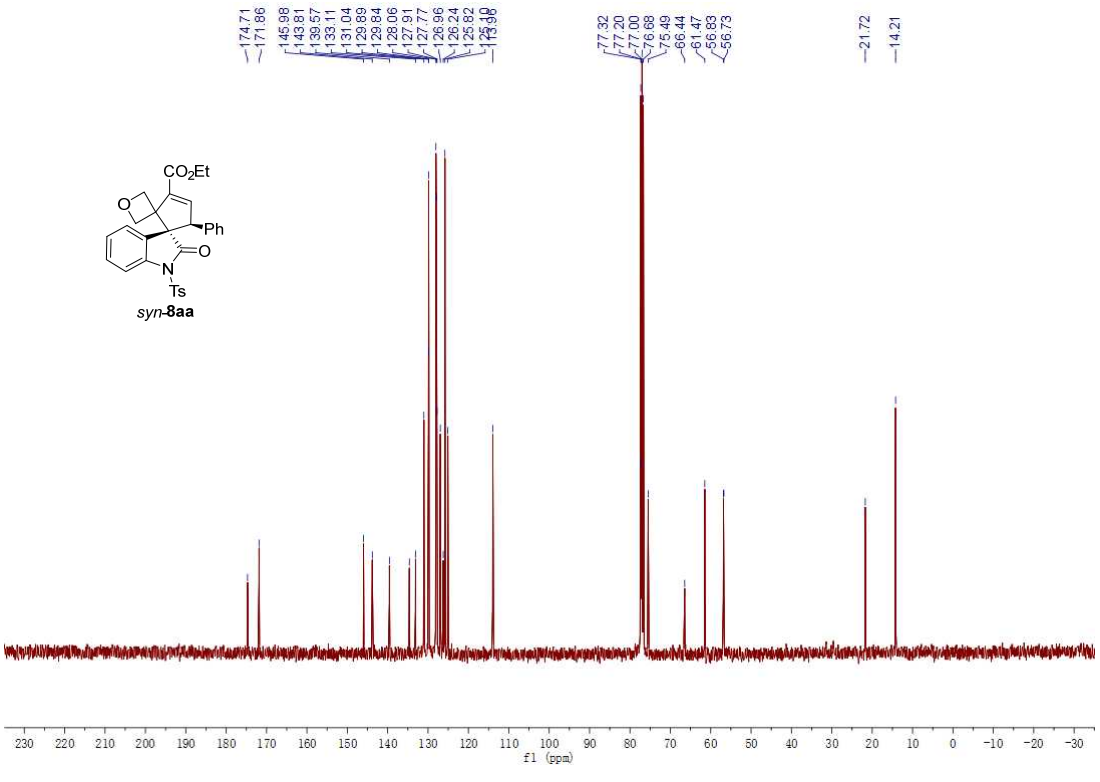
CO2Et
Ph
O
N
Ts
syn-8aa
f1 (ppm)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126.24
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77.20
77.00
76.68
75.49
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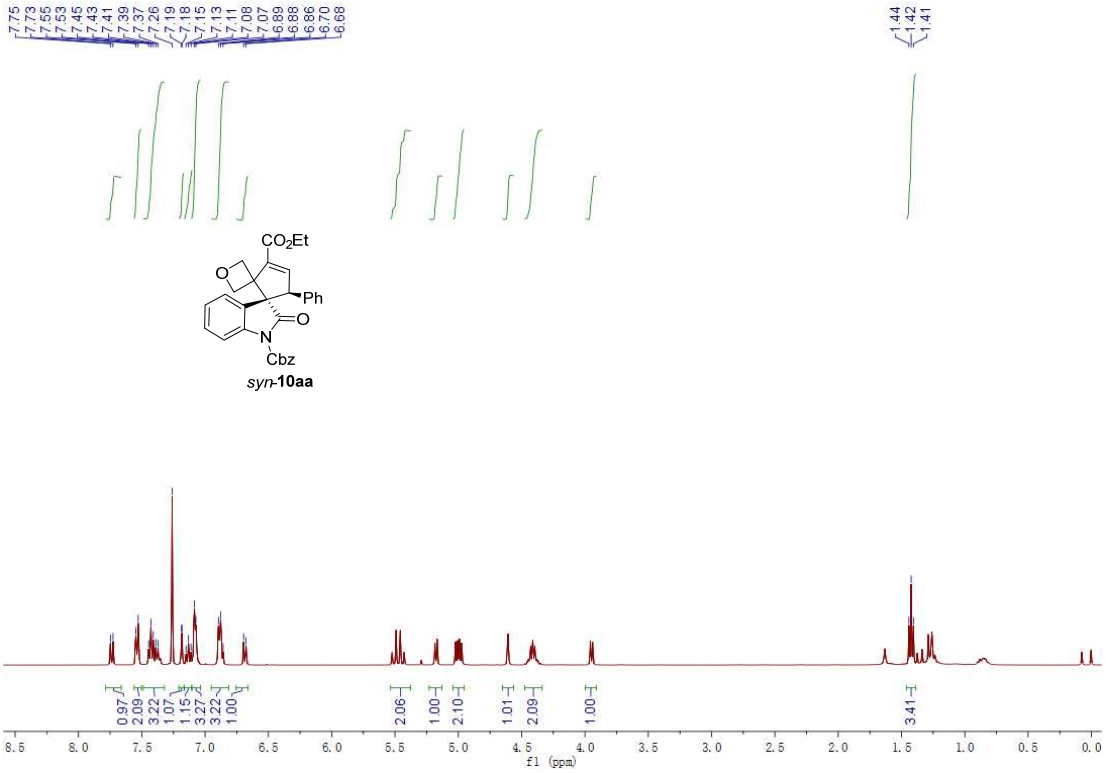
CO2Et
Ph
O
N
Ts
syn-8aa
f1 (ppm)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7.15
7.13
7.11
7.08
7.07
6.89
6.88
6.86
6.70
6.68
1.44
1.42
1.41
CO2Et
O
Ph
O
N
Cbz
syn-10aa
0.97
2.09
3.22
1.07
1.15
3.27
3.22
1.00
2.06
1.00
2.10
1.01
2.09
1.00
3.41
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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4.5
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3.5
3.0
2.5
2.0
1.5
1.0
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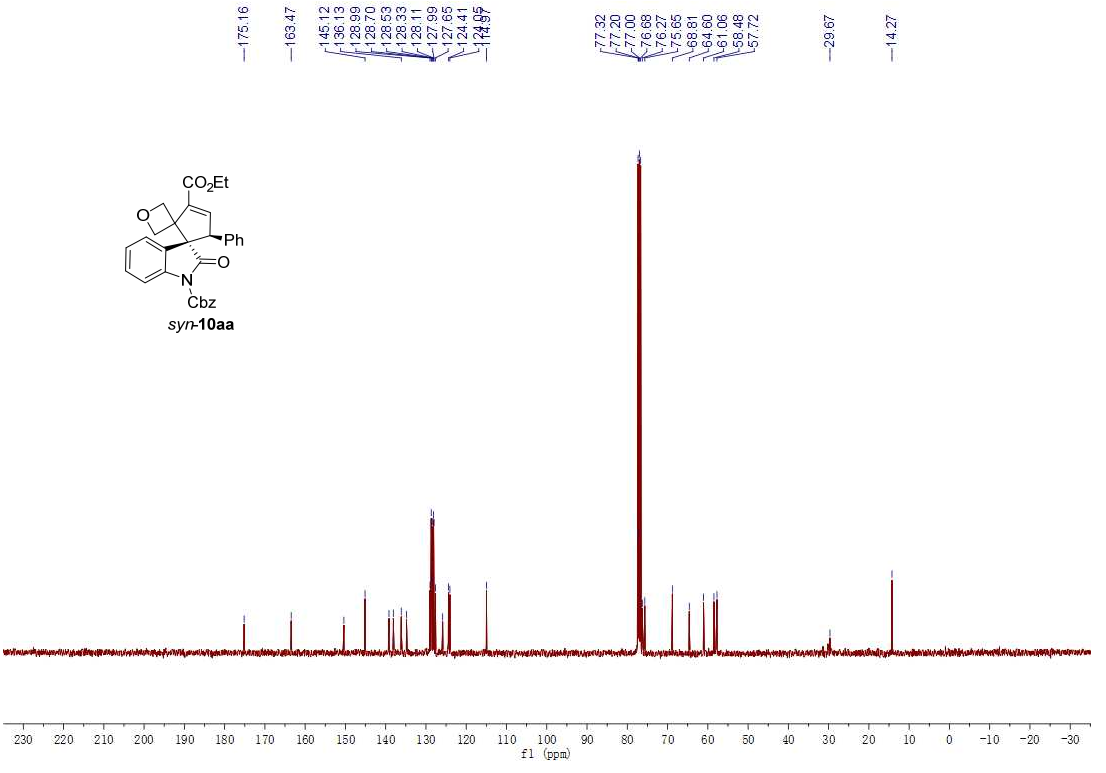
0.0
f1 (ppm)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77.32
77.20
77.00
76.68
76.27
75.65
68.81
64.60
61.06
58.48
57.72
29.67
14.27
CO2Et
O
Ph
O
N
Cbz
syn-10aa
230
220
210
200
190
180
170
160
150
140
130
120
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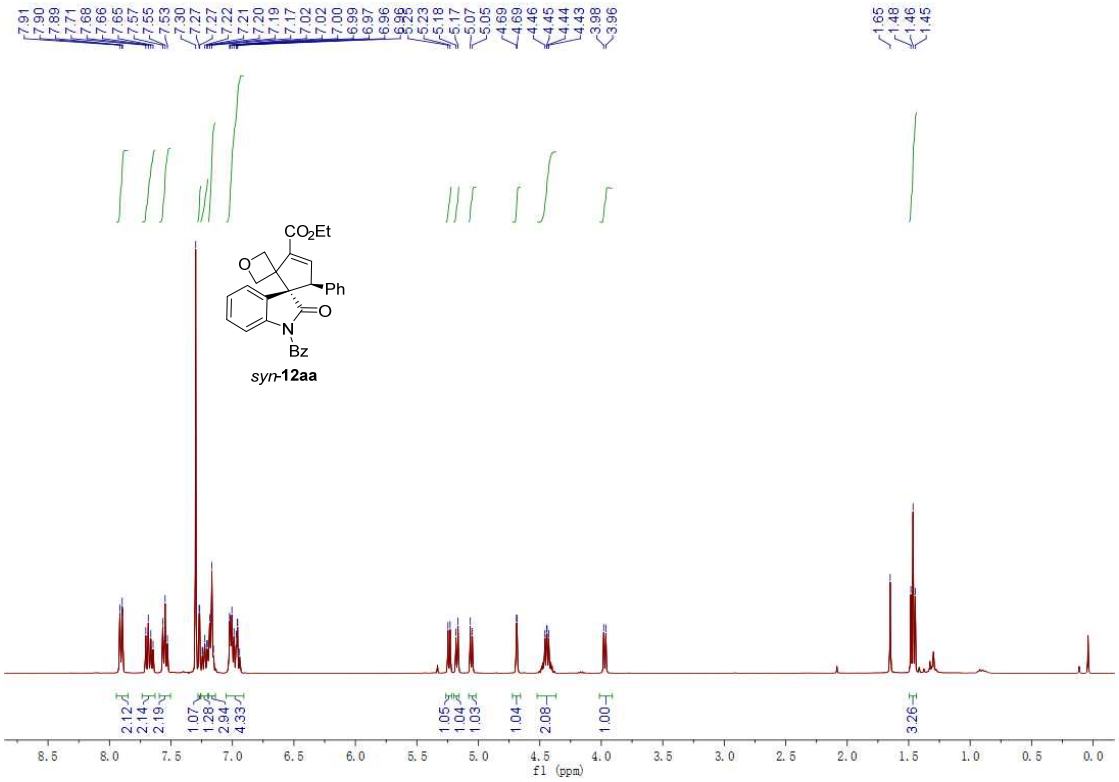
90
80
70
60
50
40
30
20
10
0
-10
-20
-30
f1 (ppm)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7.27
7.22
7.21
7.20
7.19
7.17
7.02
7.02
7.00
6.99
6.97
6.96
6.95
5.25
5.23
5.18
5.17
5.07
5.05
4.69
4.69
4.46
4.45
4.44
4.43
3.98
3.96
1.65
1.48
1.46
1.45
CO2Et
O
Ph
O
N
Bz
syn-12aa
2.12
2.14
2.19
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4.33
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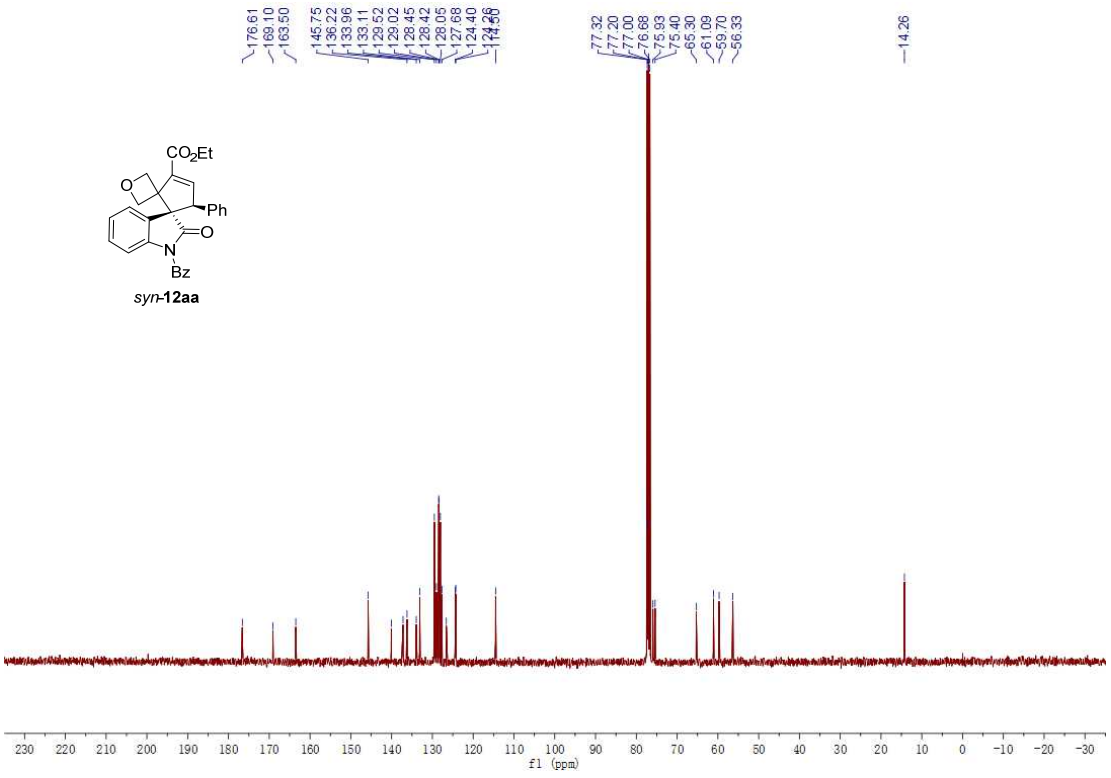
1.04
1.03
1.04
2.08
1.00
3.26
f1 (ppm)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133.11
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128.45
128.42
128.05
127.68
124.40
77.32
77.20
77.00
76.68
75.93
75.40
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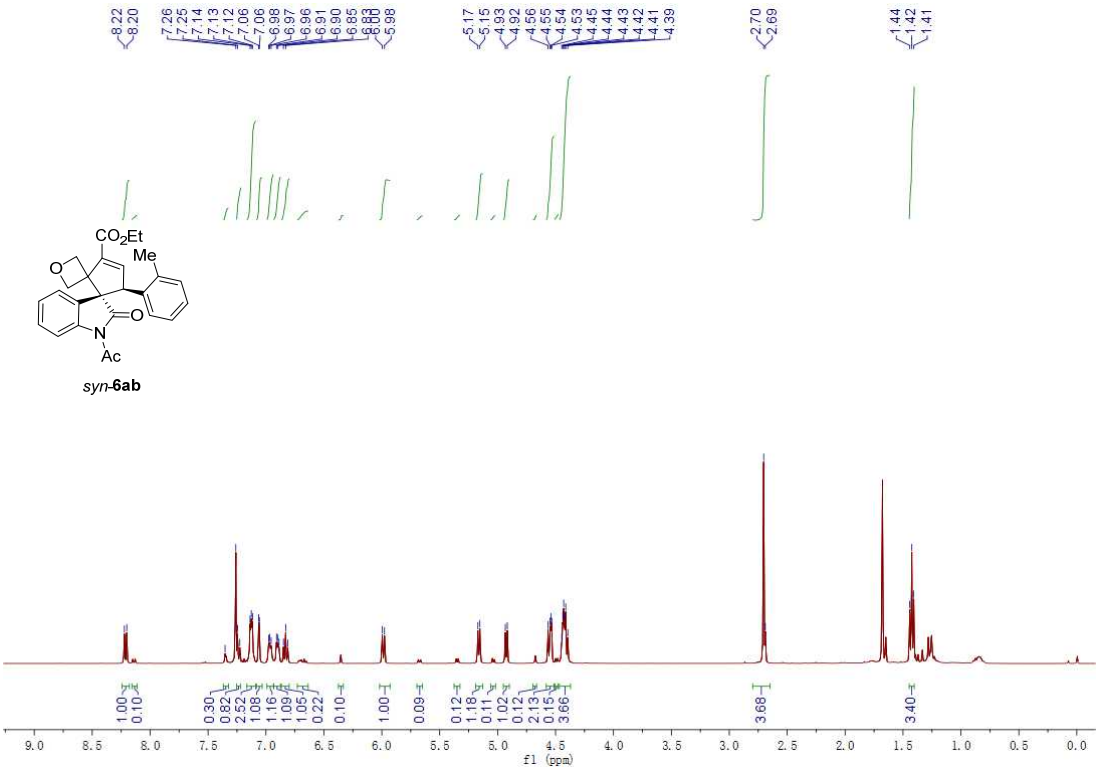
61.09
59.70
56.33
14.26
CO2Et
O
Ph
O
N
Bz
syn-12aa
f1 (ppm)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6.90
6.85
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5.15
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4.92
4.56
4.55
4.54
4.53
4.45
4.44
4.43
4.42
4.41
4.39
2.70
2.69
1.44
1.42
1.41
CO2Et
Me
O
O
N
Ac
syn-6ab
1.00
0.10
0.30
0.82
2.52
1.08
1.16
1.09
1.05
0.22
0.10
1.00
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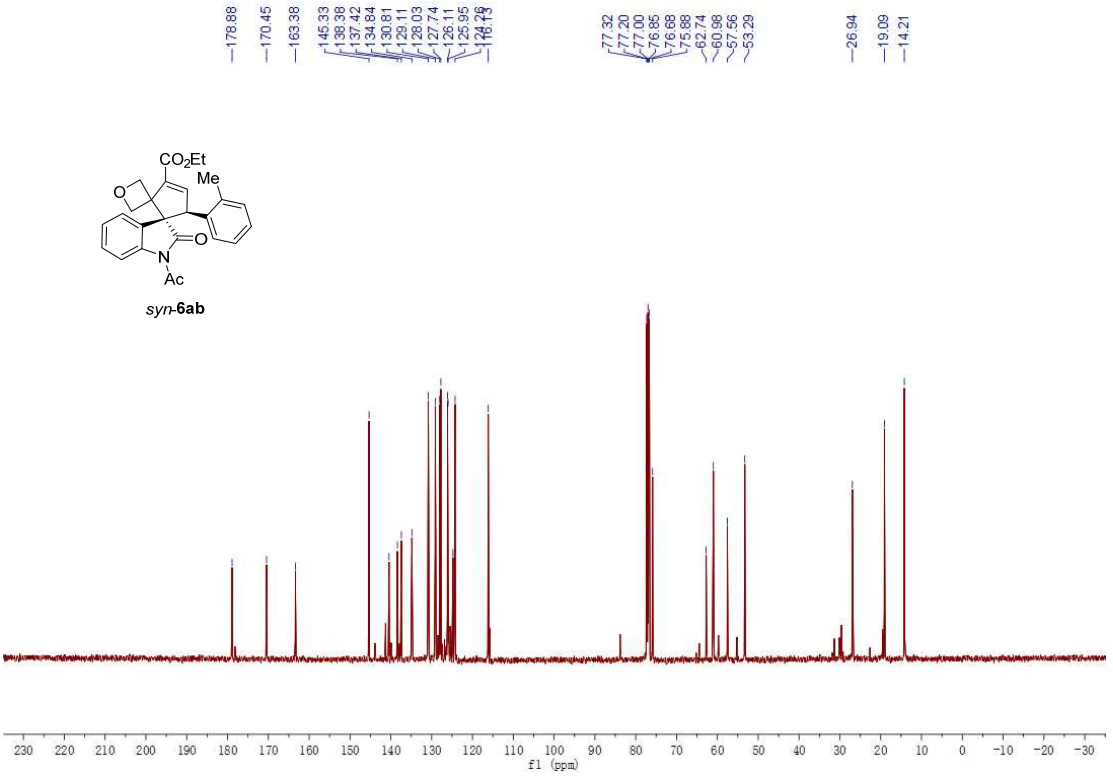
f1 (ppm)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125.95
77.32
77.20
77.00
76.85
76.68
75.88
62.74
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26.94
19.09
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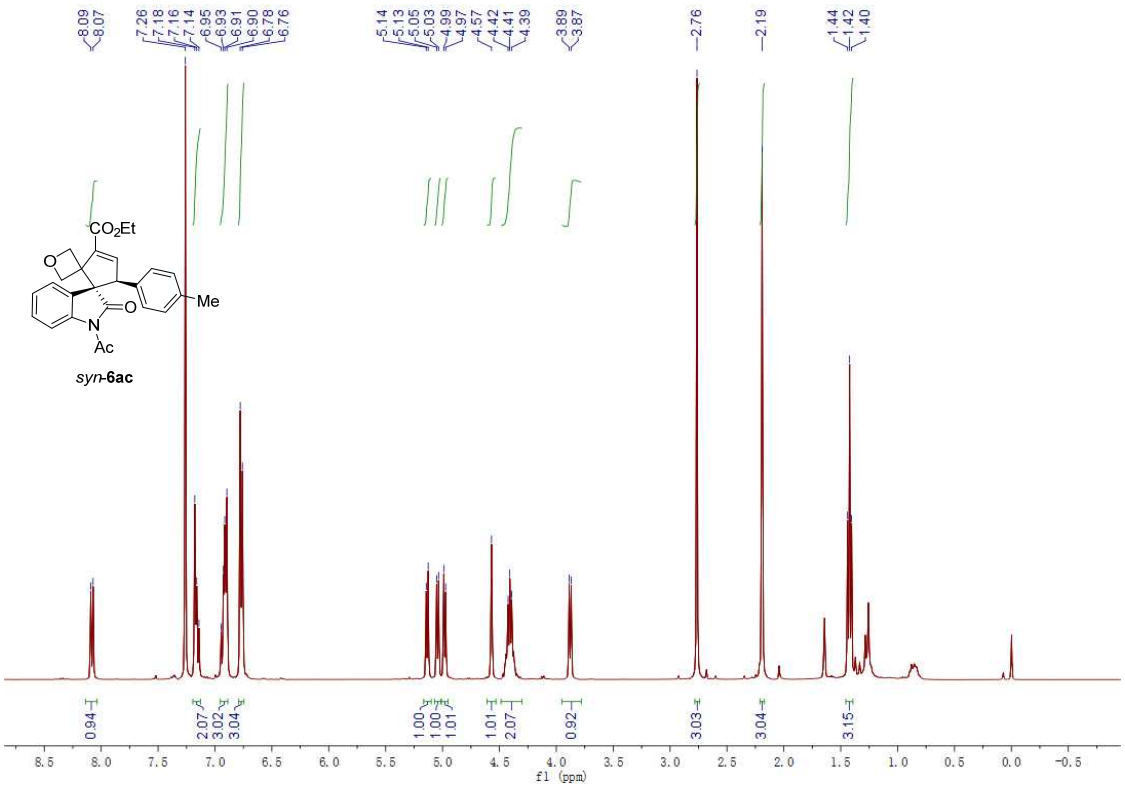
O
O
N
Ac
syn-6ab
f1 (ppm)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5.13
5.05
5.03
4.99
4.97
4.57
4.42
4.41
4.39
3.89
3.87
2.76
2.19
1.44
1.42
1.40
CO2Et
O
Me
O
N
Ac
syn-6ac
0.94
2.07
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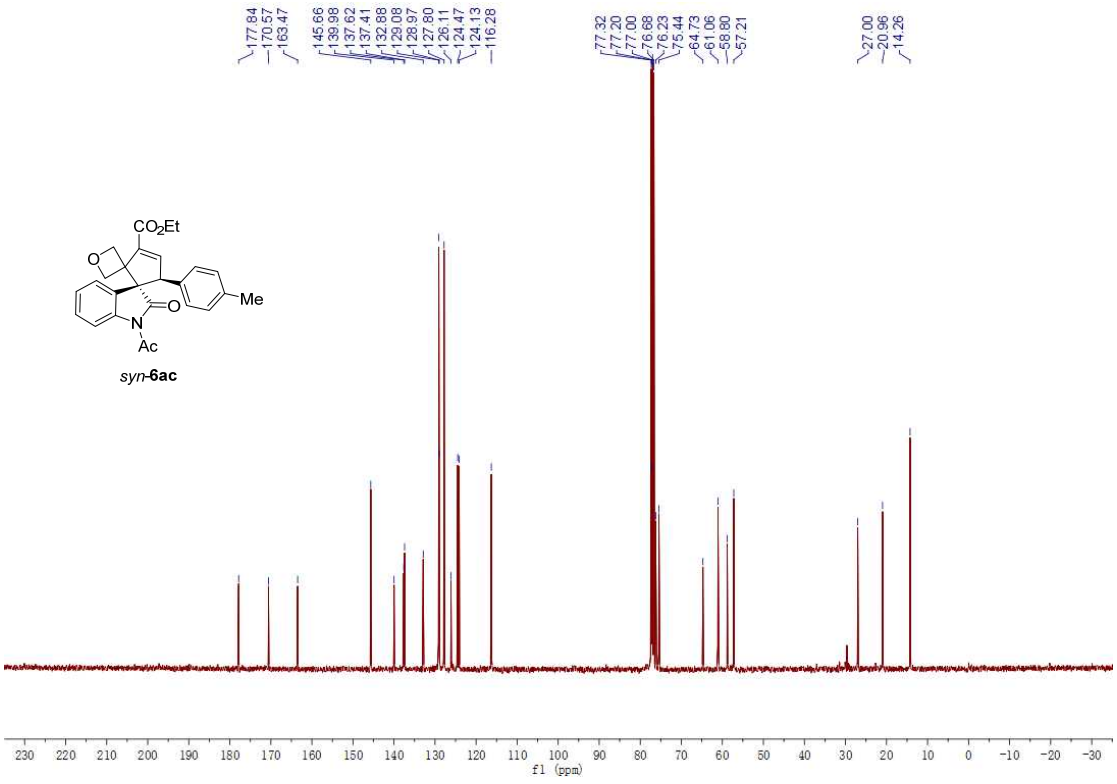
1.00
1.01
1.01
2.07
0.92
3.03
3.04
3.15
f1 (ppm)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124.13
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77.32
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77.00
76.68
76.23
75.44
64.73
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O
Me
O
N
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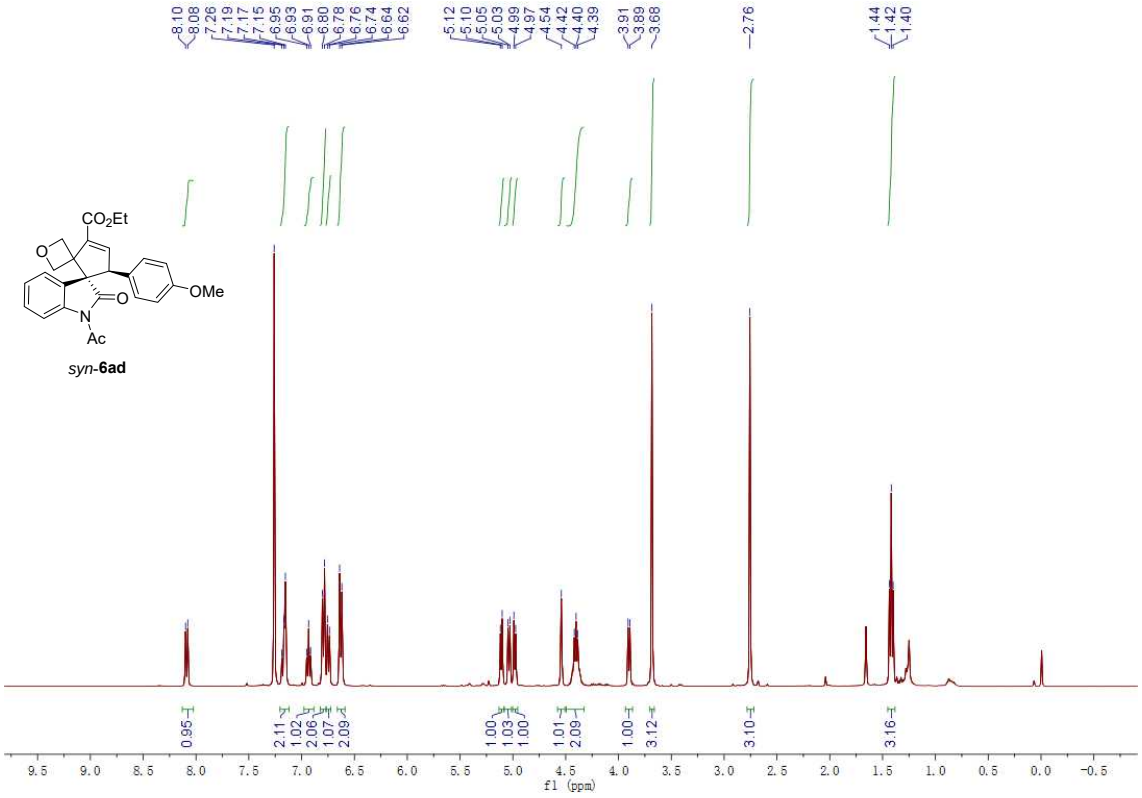
syn-6ac
f1 (ppm)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6.80
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6.76
6.74
6.64
6.62
5.12
5.10
5.05
5.03
4.99
4.97
4.54
4.42
4.40
4.39
3.91
3.89
3.68
2.76
1.44
1.42
1.40
CO2Et
O
OMe
O
N
Ac
syn-6ad
0.95
2.11
1.02
2.06
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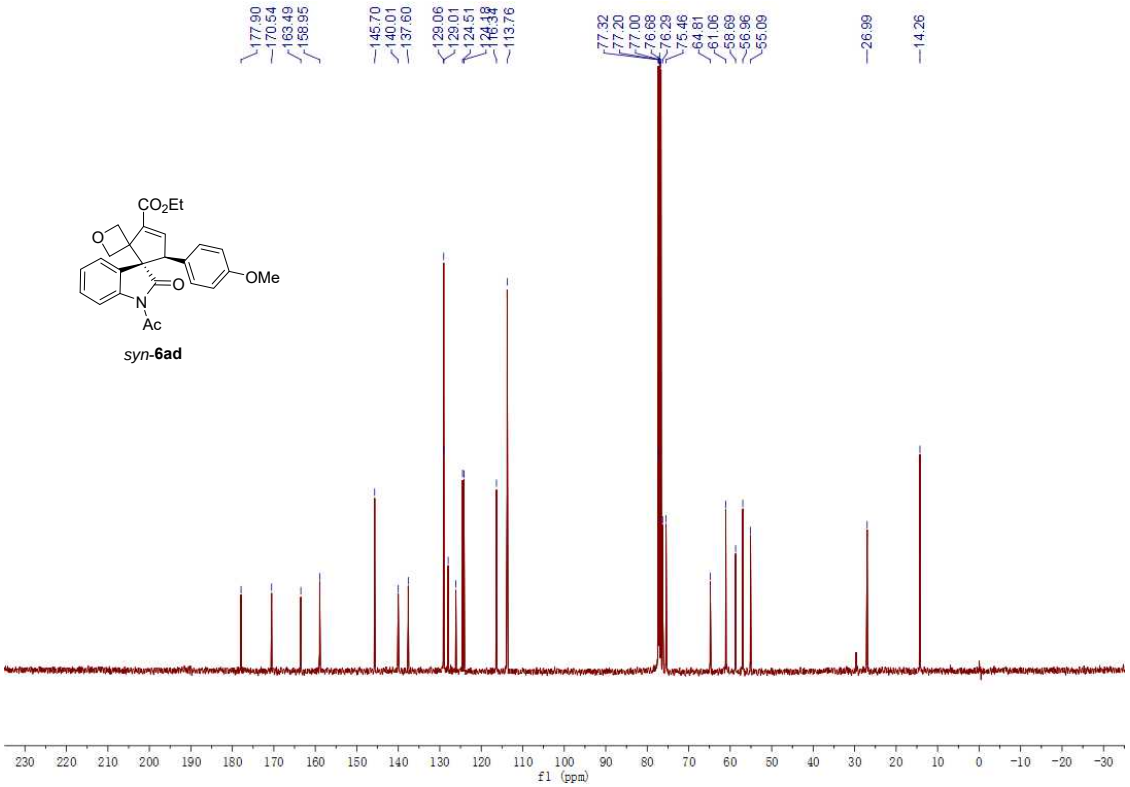
f1 (ppm)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77.00
76.68
76.29
75.46
64.81
61.06
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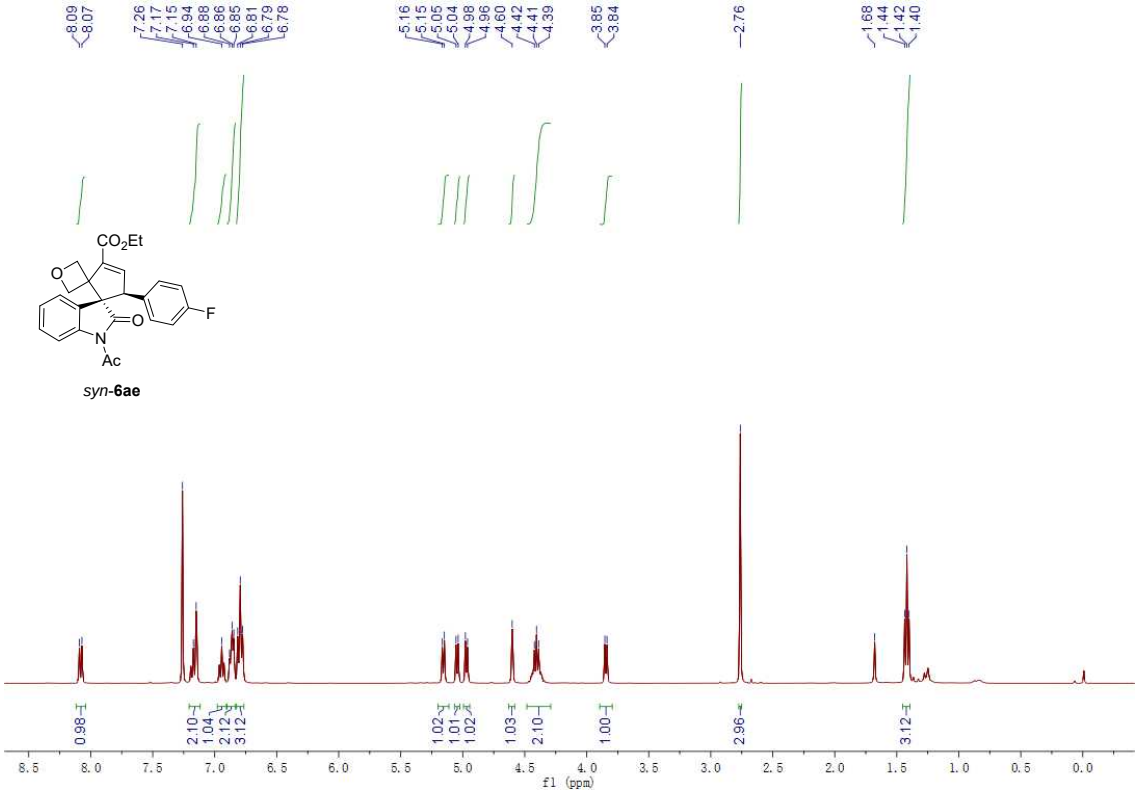
26.99
14.26
CO2Et
O
OMe
O
N
Ac
syn-6ad
f1 (ppm)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6.81
6.79
6.78
5.16
5.15
5.05
5.04
4.98
4.96
4.60
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4.41
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3.85
3.84
2.76
1.68
1.44
1.42
1.40
CO2Et
O
O
N
Ac
F
syn-6ae
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1.01
1.02
1.03
2.10
1.00
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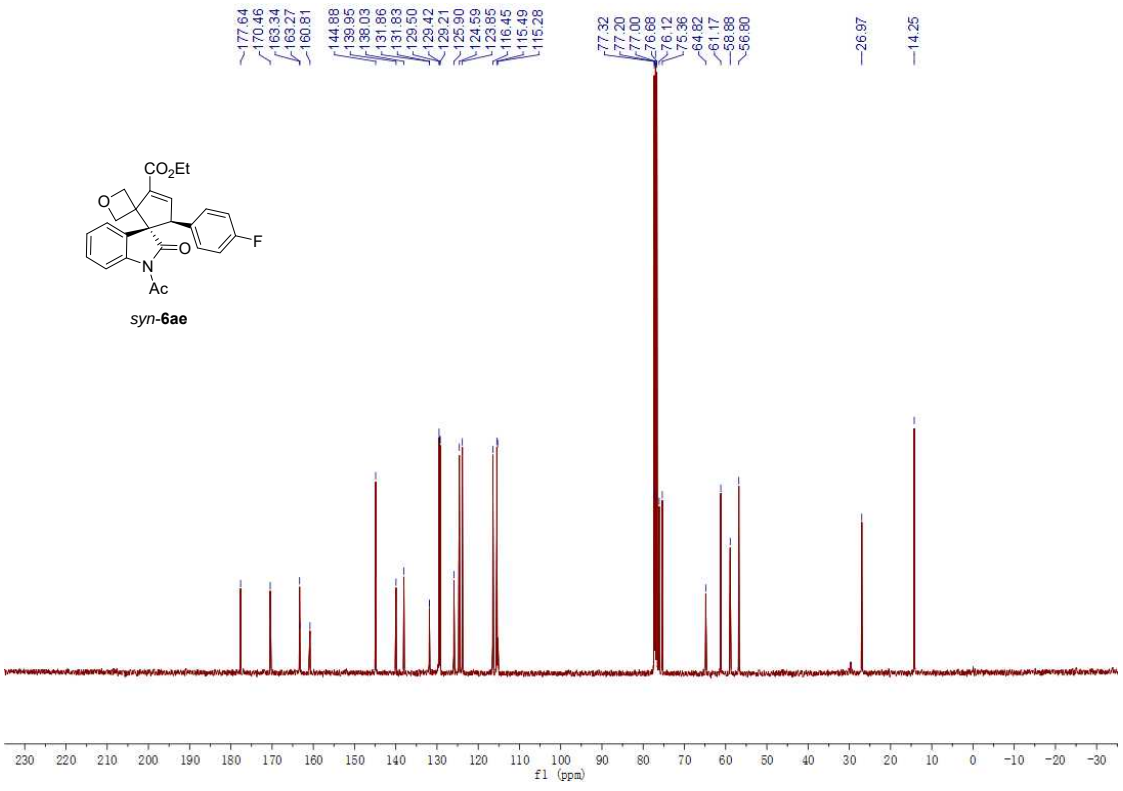
3.12
f1 (ppm)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124.59
123.85
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77.32
77.20
77.00
76.68
76.12
75.36
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56.80
26.97
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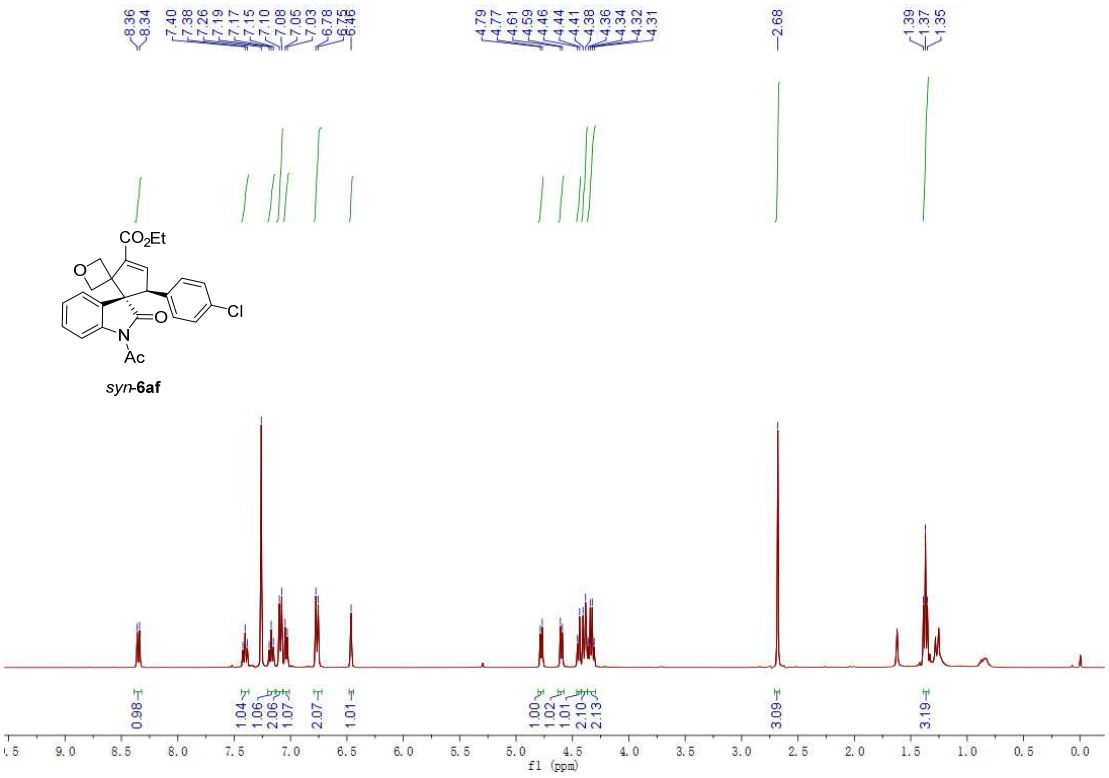
CO2Et
O
O
N
Ac
F
syn-6ae
f1 (ppm)



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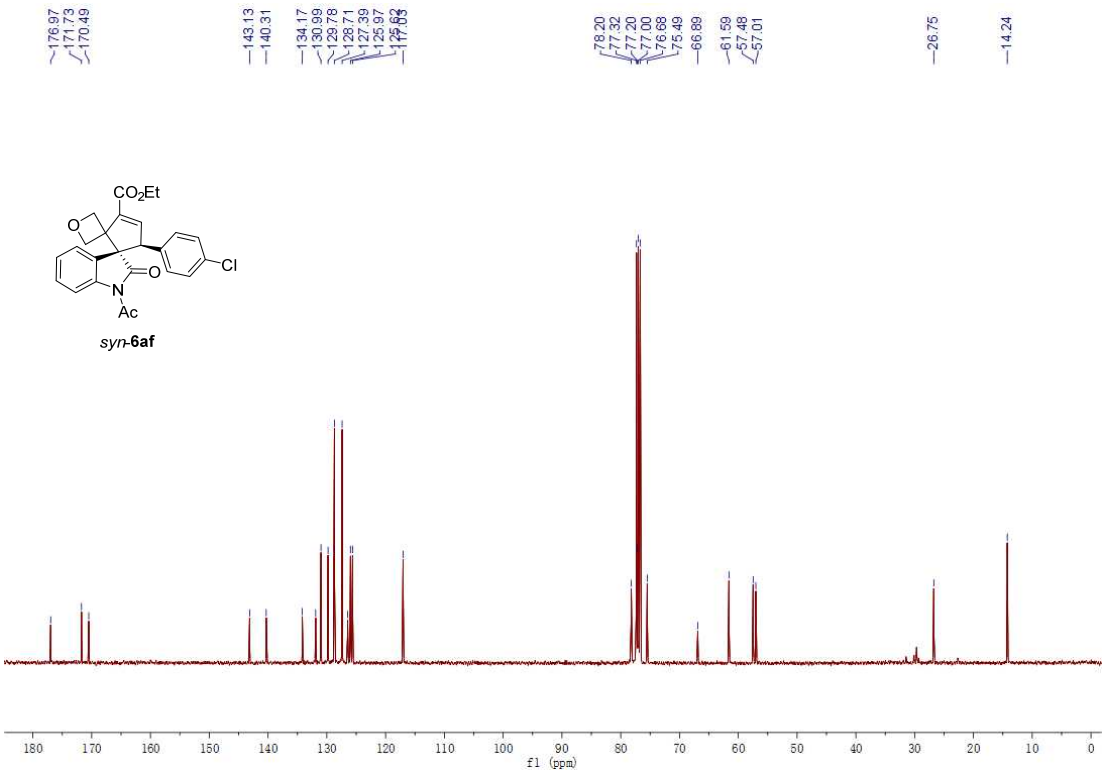

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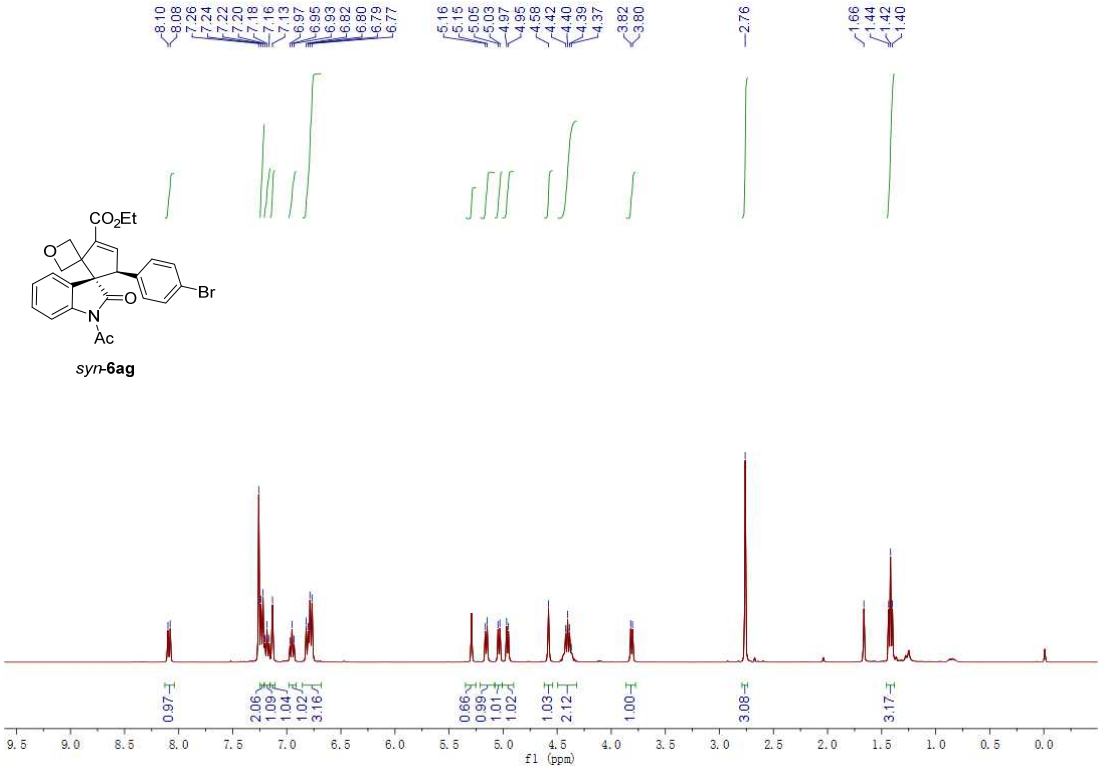

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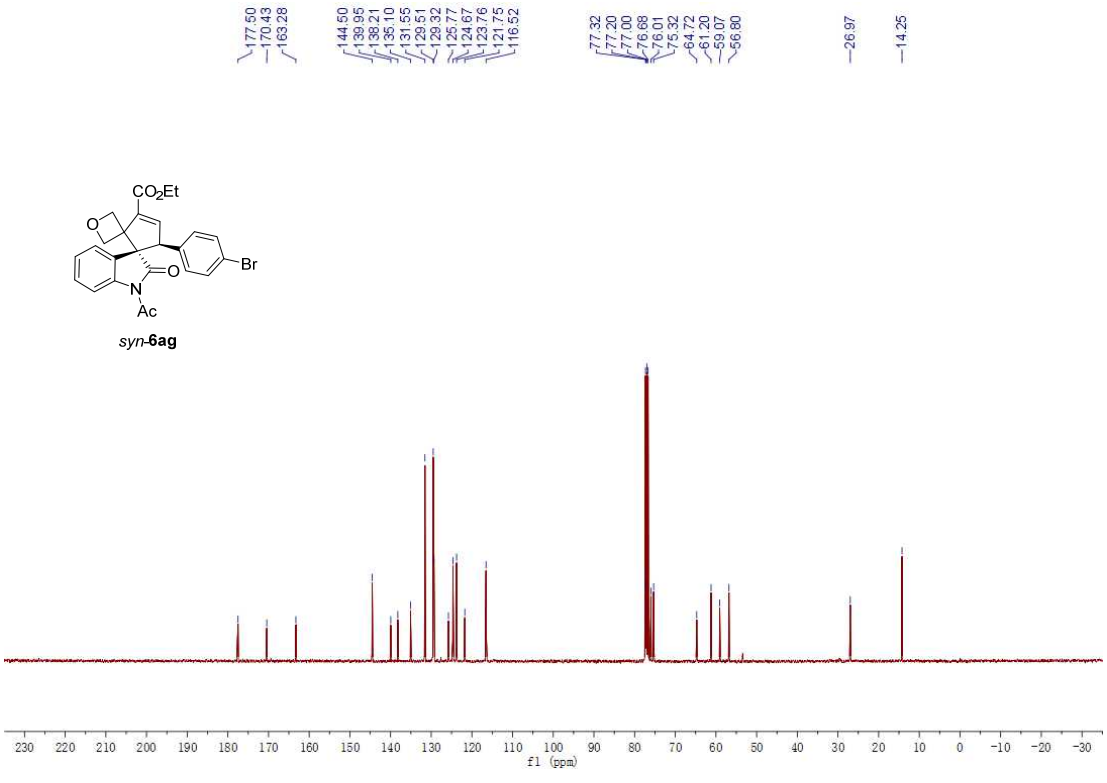

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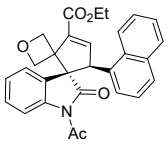

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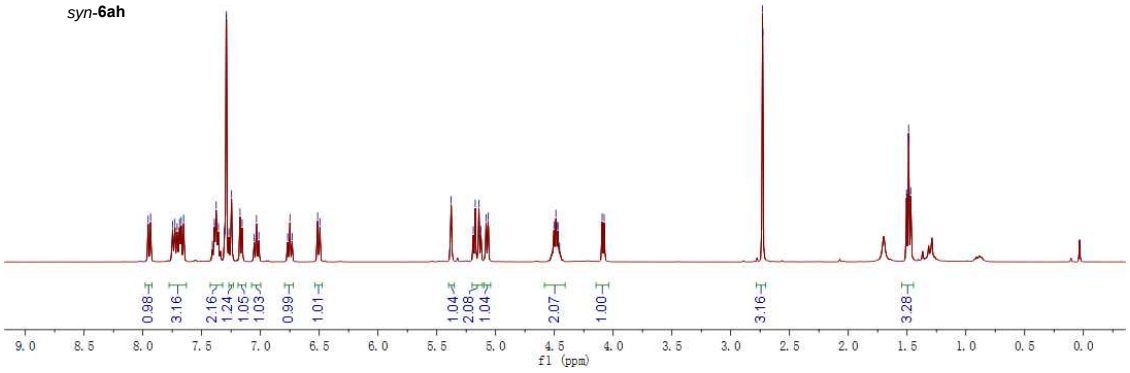
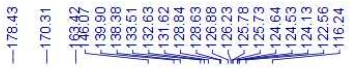
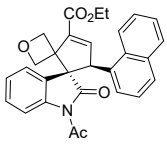
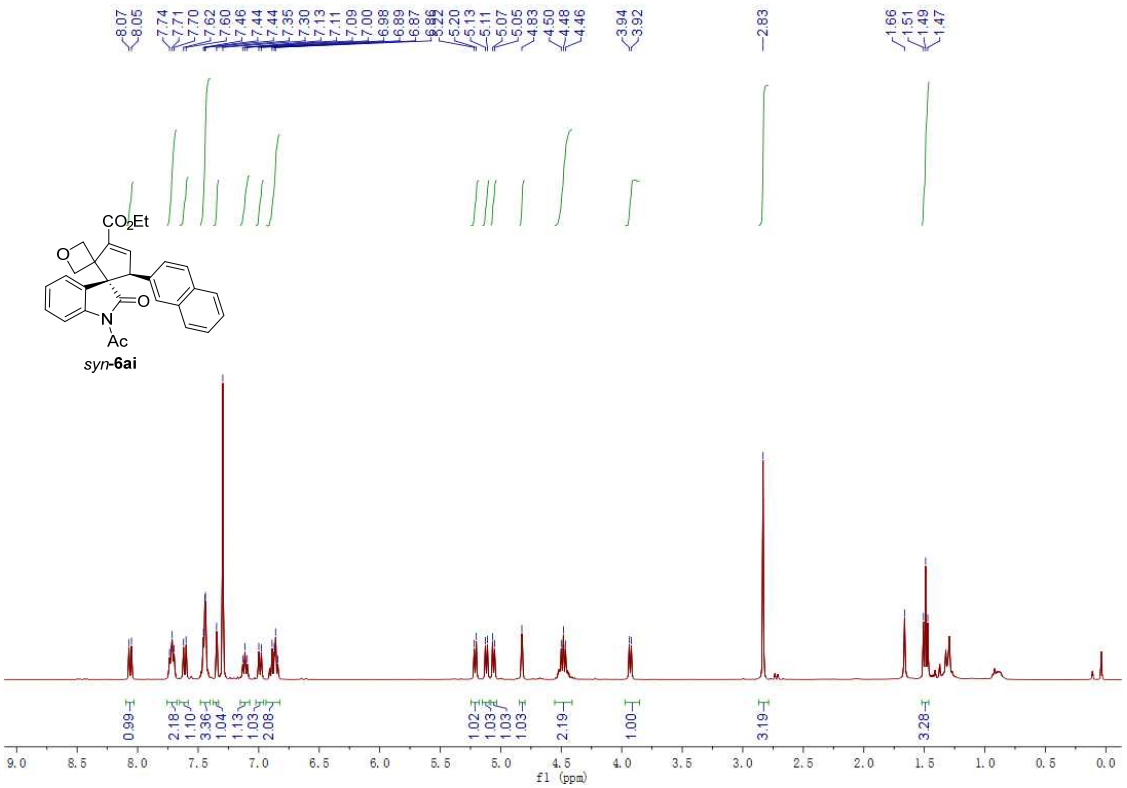






syn-**6ah**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7.13
7.11
7.09
7.00
6.98
6.89
6.87
5.20
5.13
5.11
5.07
5.05
4.83
4.50
4.48
4.46
3.94
3.92
2.83
1.66
1.51
1.49
1.47
CO2Et
O
O
N
Ac
syn-6ai
0.99
2.18
1.10
3.36
1.04
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1.03
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1.02
1.03
1.03
1.03
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1.00
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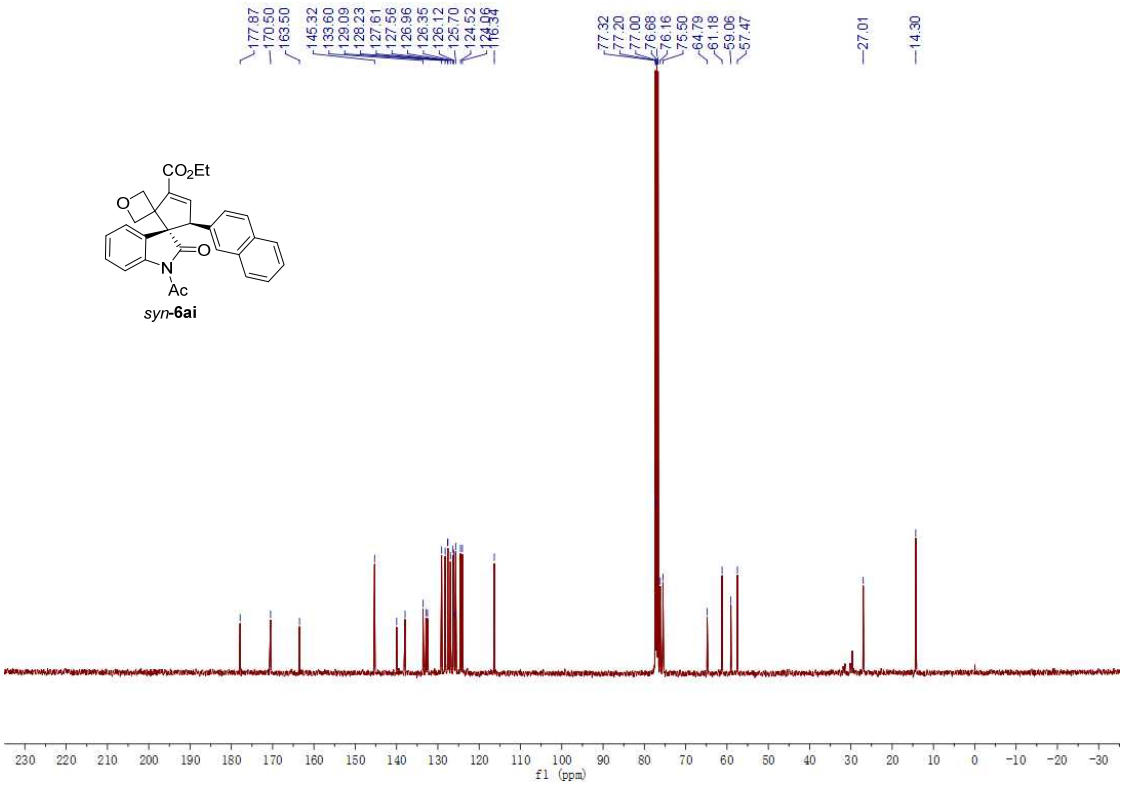
f1 (ppm)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124.52
77.32
77.20
77.00
76.68
76.16
75.50
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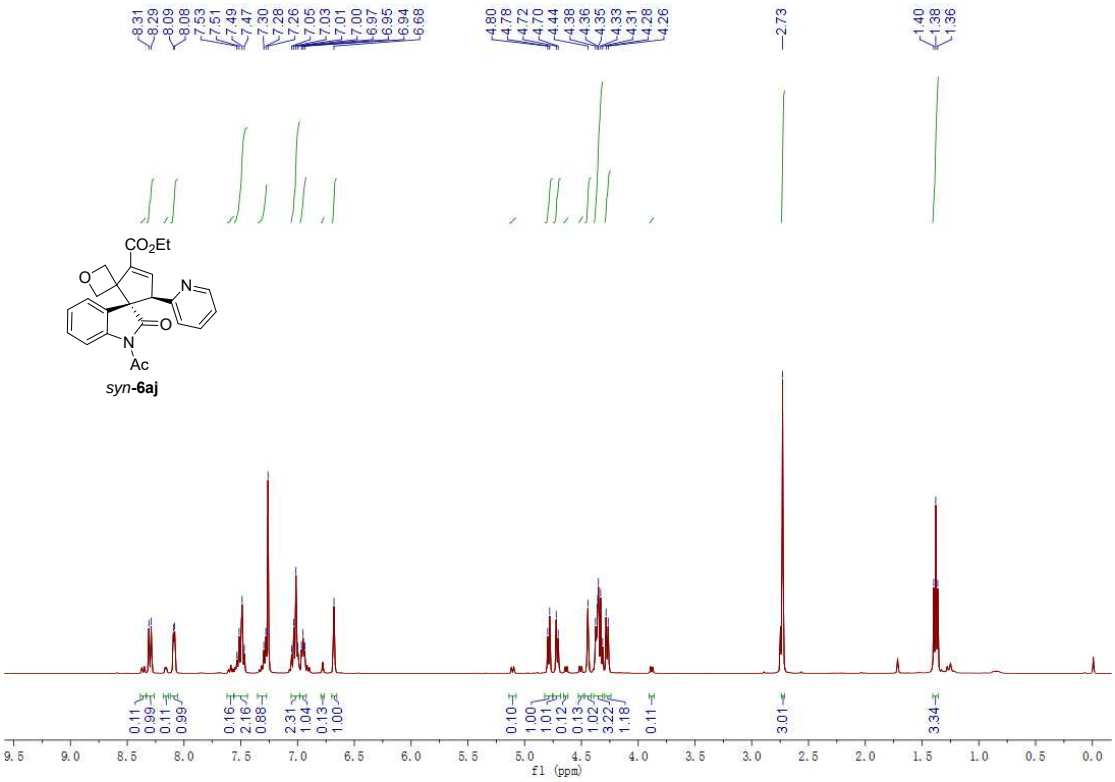
57.47
27.01
14.30
CO2Et
O
O
N
Ac
syn-6ai
f1 (ppm)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7.01
7.00
6.97
6.95
6.94
6.68
4.80
4.78
4.72
4.70
4.44
4.38
4.36
4.35
4.33
4.31
4.28
4.26
2.73
1.40
1.38
1.36
CO2Et
O
N
O
N
Ac
syn-6aj
0.11
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0.11
0.99
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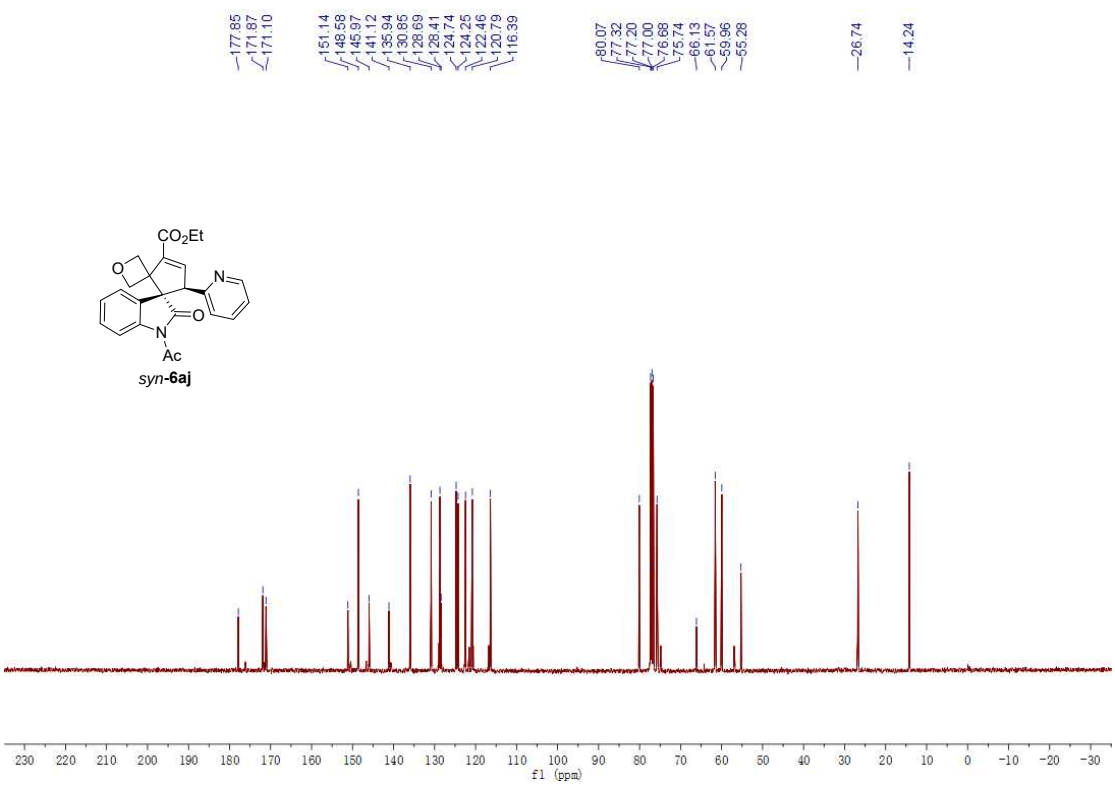
2.16
0.88
2.31
1.04
0.13
1.00
0.10
1.00
1.01
0.12
0.13
1.02
3.22
1.18
0.11
3.01
3.34
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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120.79
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80.07
77.32
77.20
77.00
76.68
75.74
66.13
61.57
59.96
55.28
26.74
14.24
CO2Et
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syn-6aj
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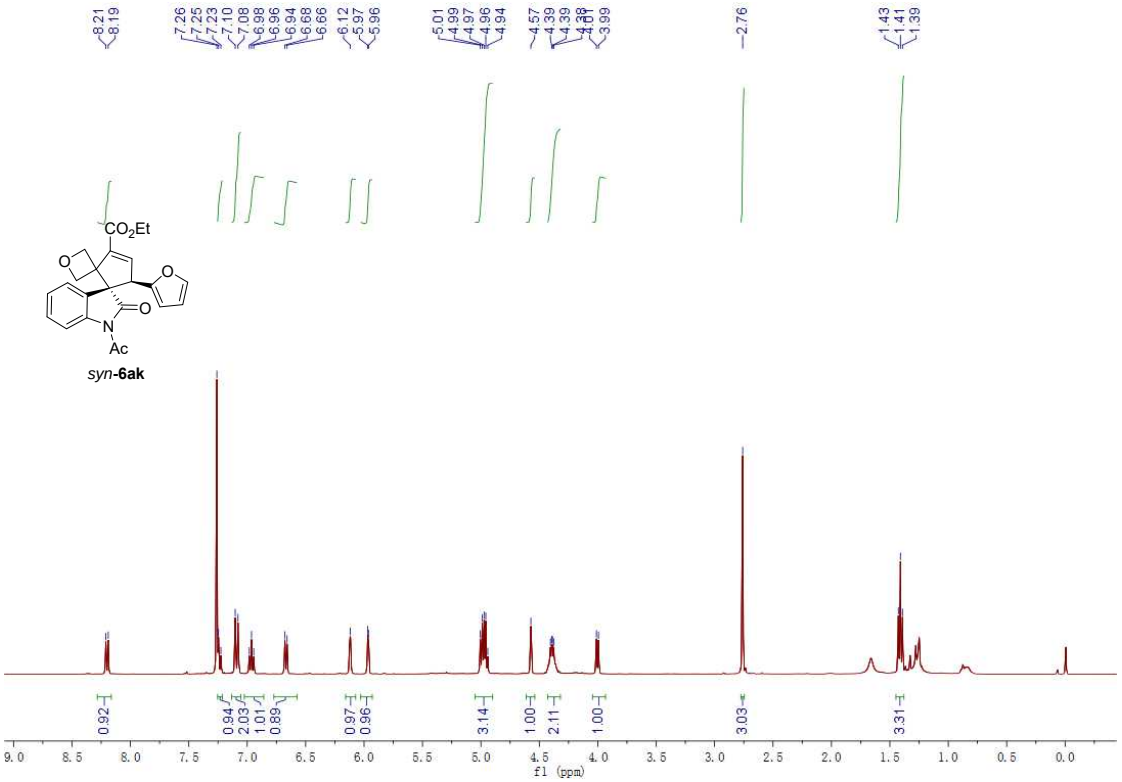
f1 (ppm)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5.97
5.96
5.01
4.99
4.97
4.96
4.94
4.57
4.39
4.39
4.38
4.01
3.99
2.76
1.43
1.41
1.39
CO2Et
O
O
O
N
Ac
syn-6ak
0.92
0.94
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2.11
1.00
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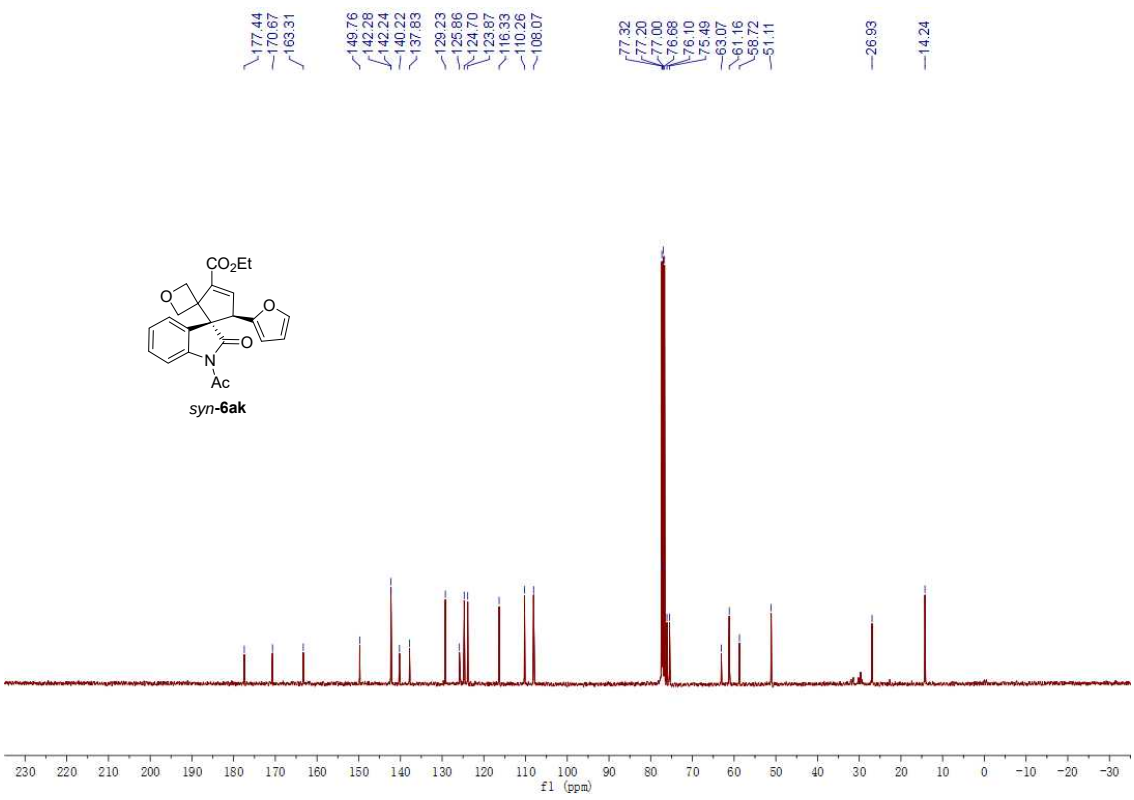
3.31
f1 (ppm)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77.20
77.00
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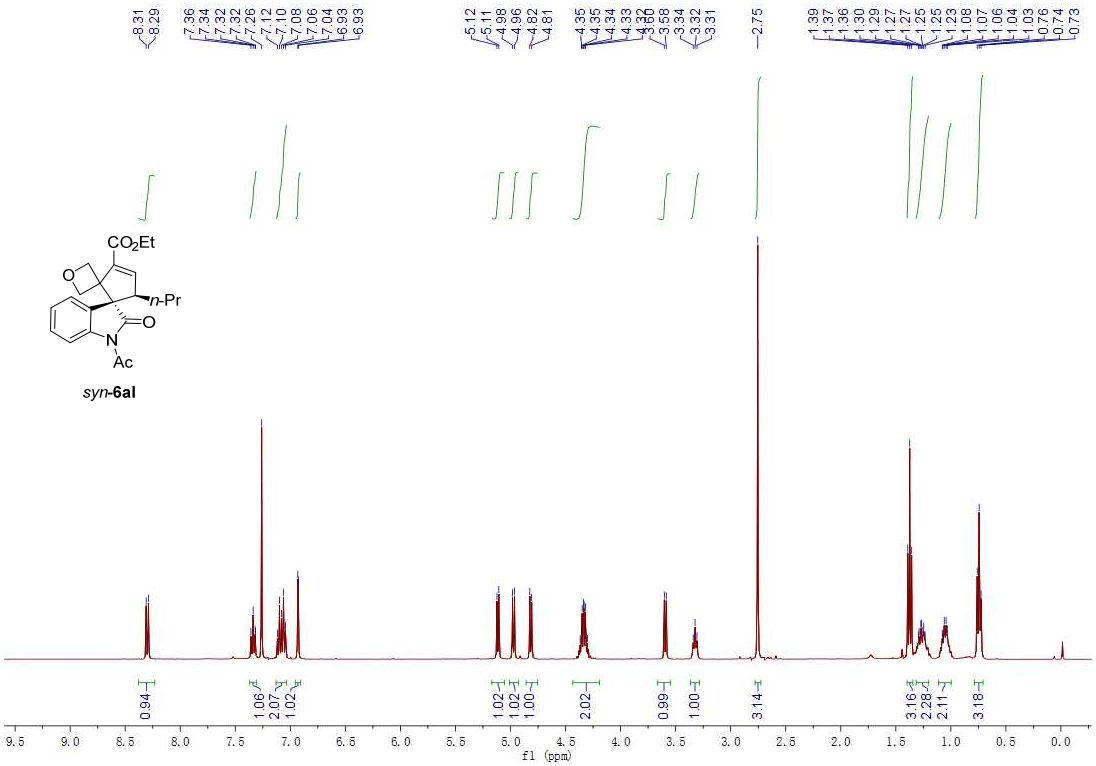
O
O
N
Ac
syn-6ak
f1 (ppm)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1.27
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1.25
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1.23
1.08
1.07
1.06
1.04
1.03
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0.74
0.73
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O
N
Ac
O
syn-6al
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1.06
2.07
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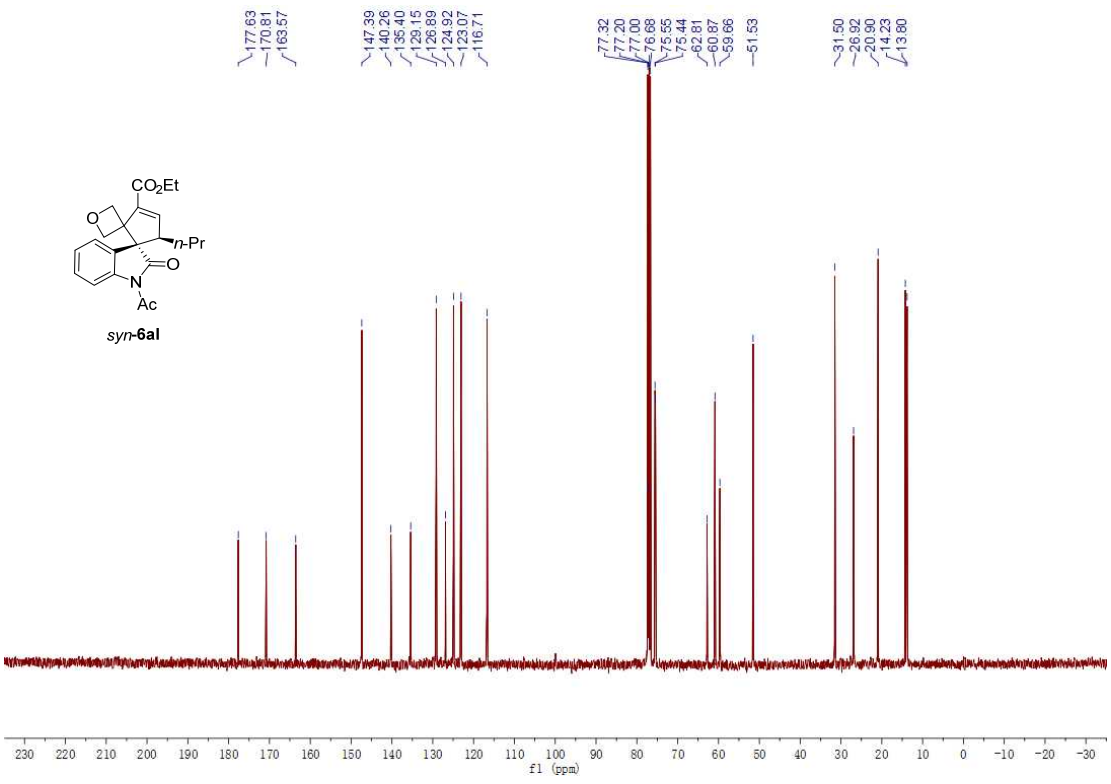
3.18
f1 (ppm)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76.68
75.55
75.44
62.81
60.87
59.66
51.53
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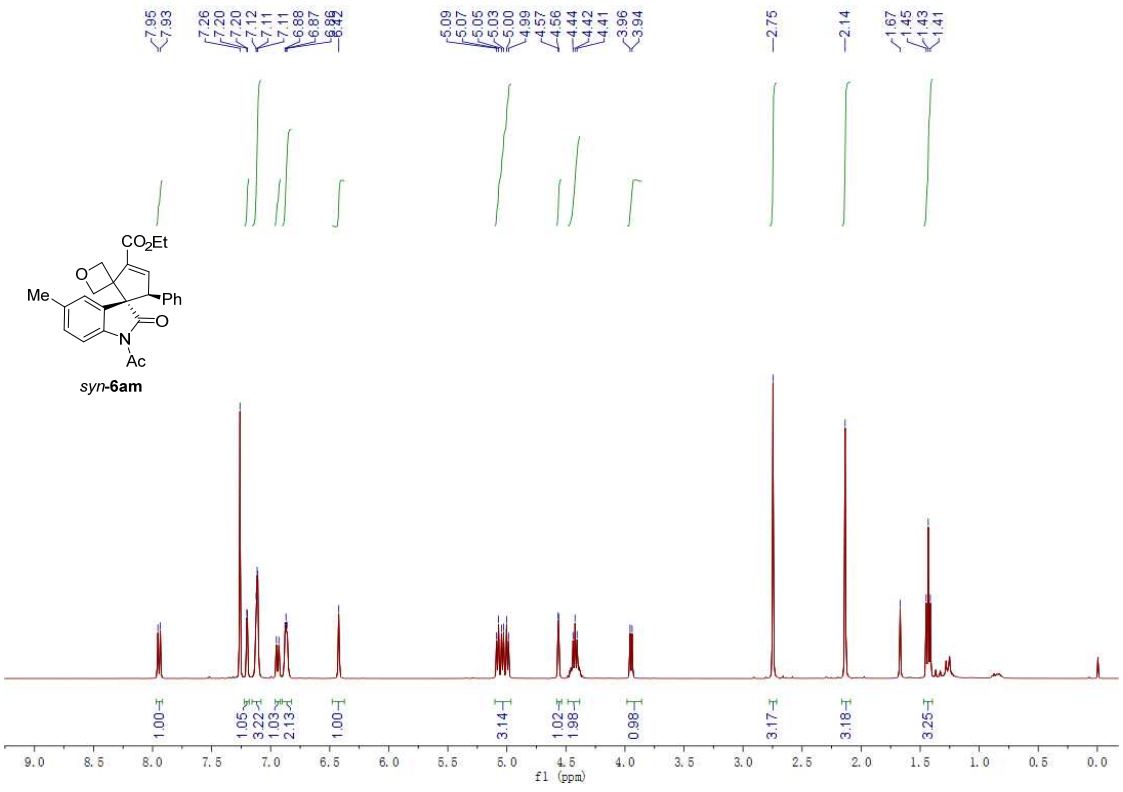
n-Pr
O
N
Ac
O
syn-6al
f1 (ppm)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5.07
5.05
5.03
5.00
4.99
4.57
4.56
4.44
4.42
4.41
3.96
3.94
2.75
2.14
1.67
1.45
1.43
1.41
CO2Et
O
Me
Ph
O
N
Ac
syn-6am
1.00
1.05
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1.00
3.14
1.02
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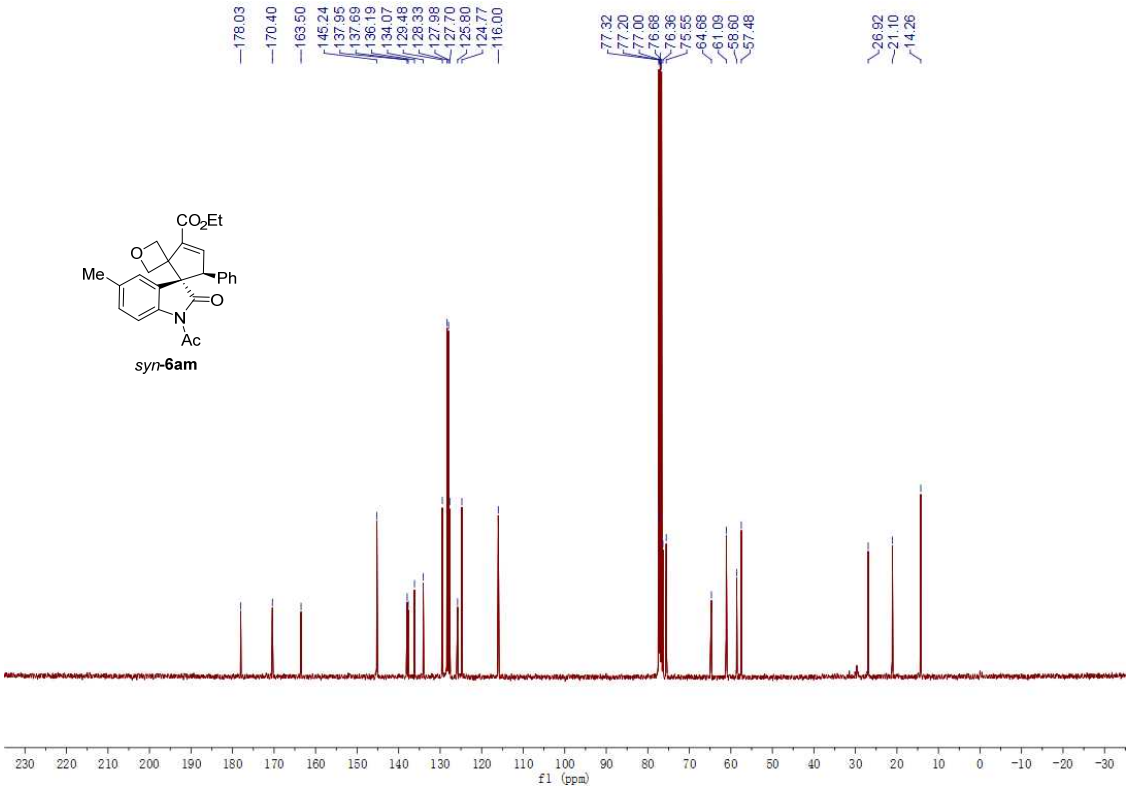
3.18
3.25
f1 (ppm)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125.80
124.77
116.00
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77.20
77.00
76.68
76.36
75.55
64.68
61.09
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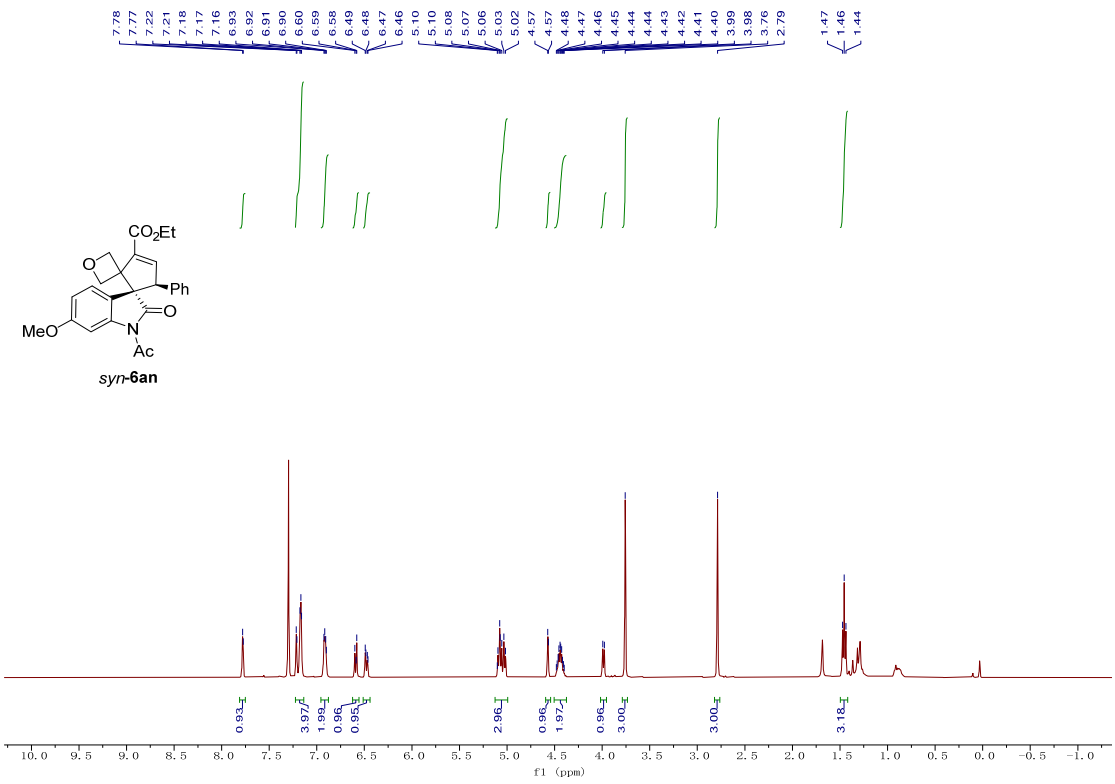
14.26
CO2Et
O
Me
Ph
O
N
Ac
syn-6am
f1 (ppm)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5.07
5.06
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5.02
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4.41
4.40
3.99
3.98
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1.46
1.44
CO2Et
O
Ph
O
MeO
N
Ac
syn-6an
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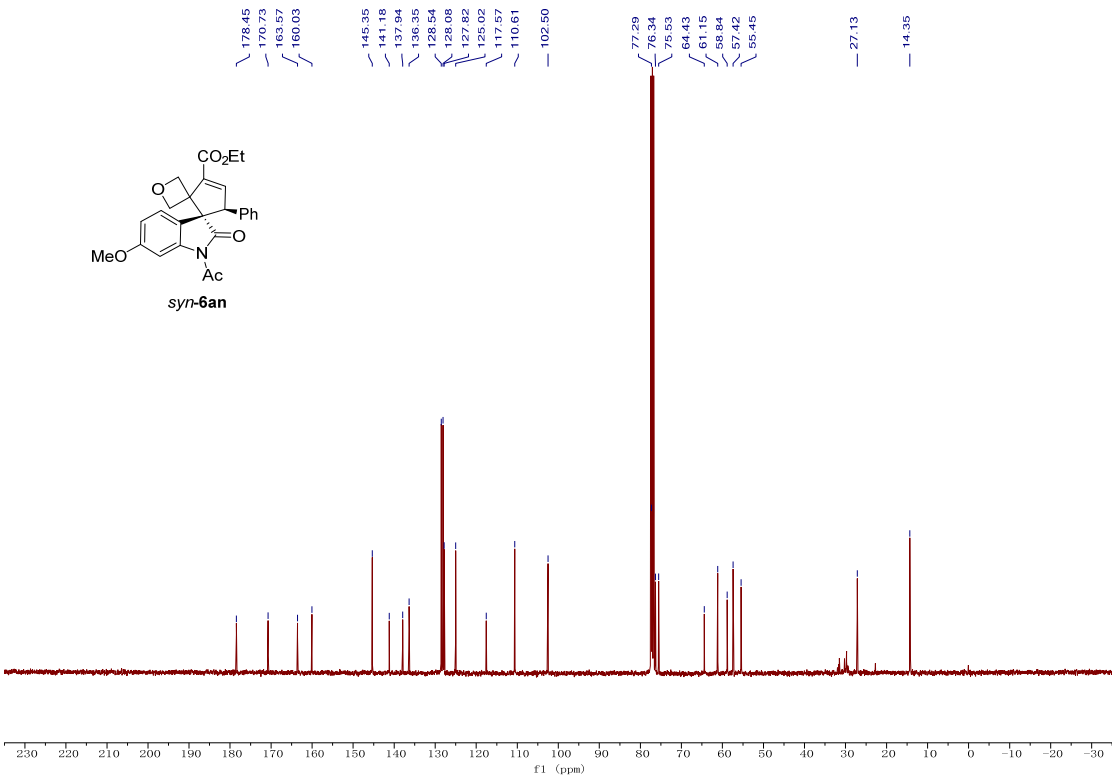
f1 (ppm)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136.35
128.54
128.08
127.82
125.02
117.57
110.61
102.50
77.29
76.34
75.53
64.43
61.15
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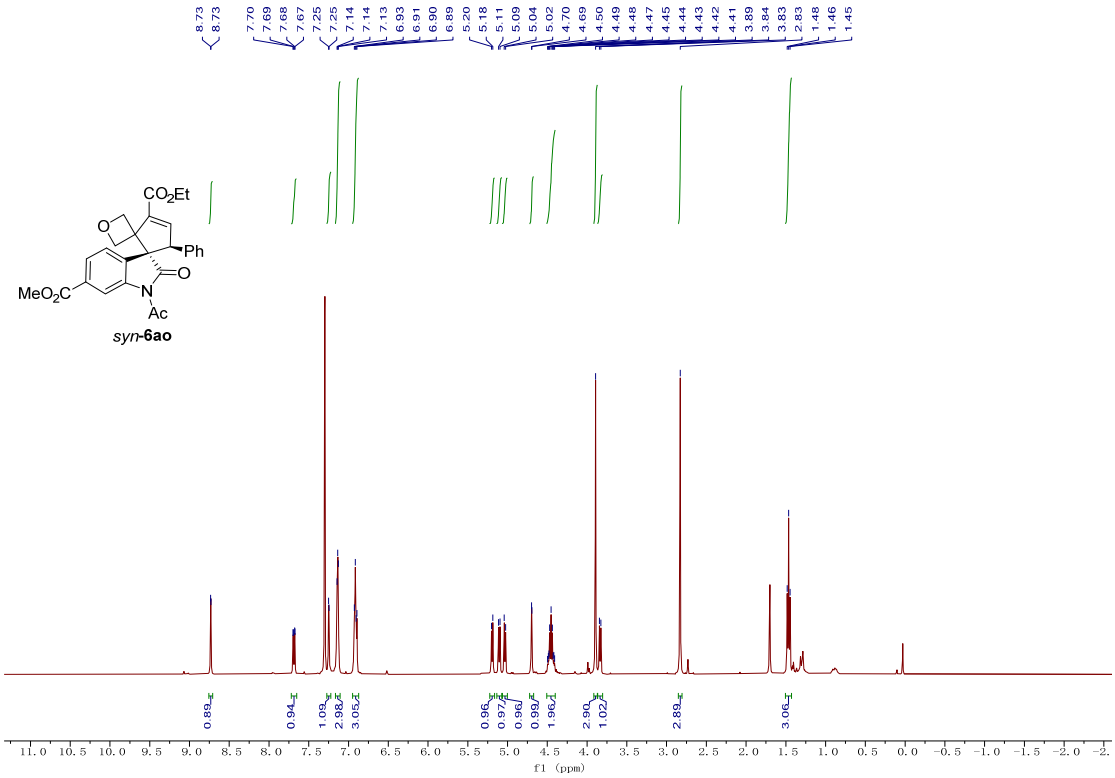
27.13
14.35
CO2Et
O
Ph
O
MeO
N
Ac
syn-6an
f1 (ppm)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6.89
5.20
5.18
5.11
5.09
5.04
5.02
4.70
4.69
4.50
4.49
4.48
4.47
4.45
4.44
4.43
4.42
4.41
3.89
3.84
3.83
2.83
1.48
1.46
1.45
CO2Et
O
Ph
O
MeO2C
N
Ac
syn-6ao
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0.94
1.09
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0.97
0.96
0.99
1.96
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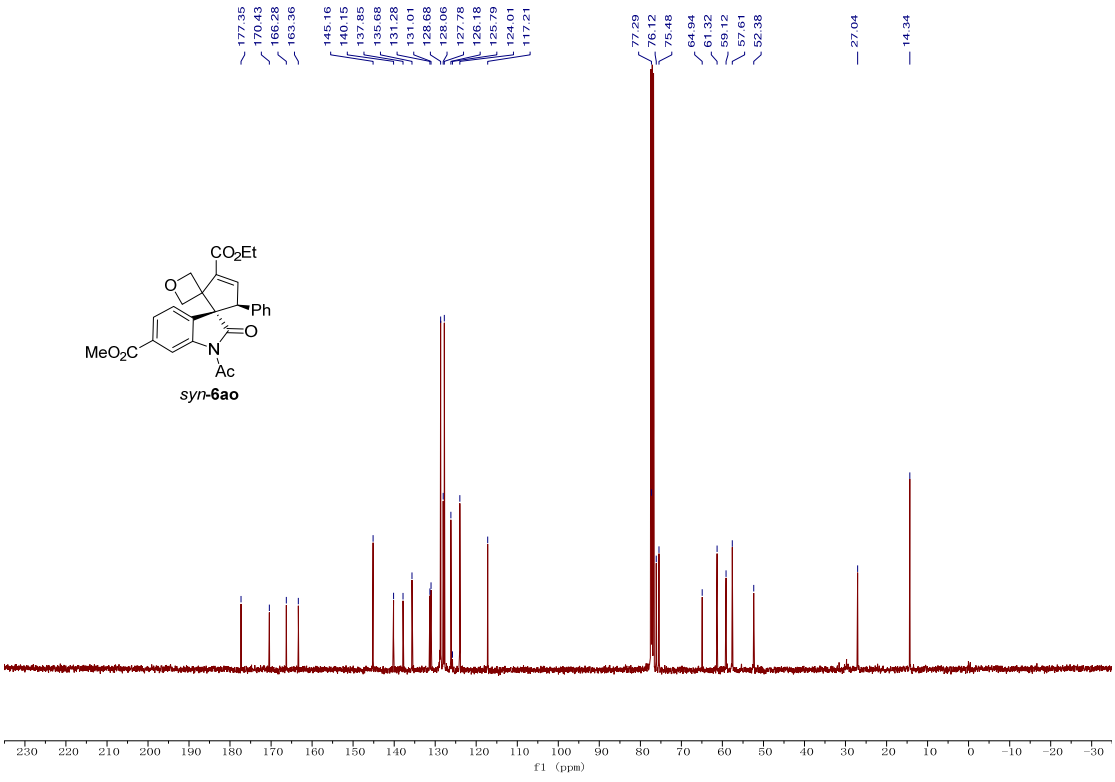
1.02
2.89
3.06
f1 (ppm)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127.78
126.18
125.79
124.01
117.21
77.29
76.12
75.48
64.94
61.32
59.12
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14.34
CO2Et
O
Ph
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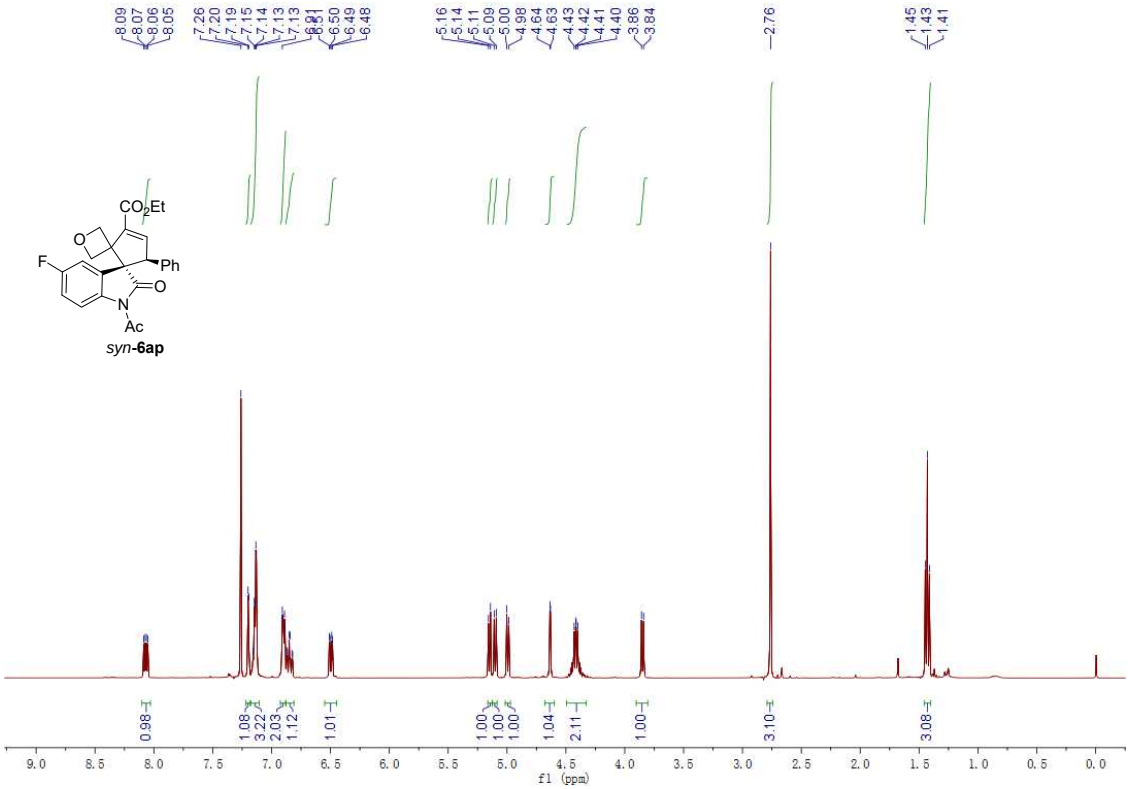
MeO2C
N
Ac
syn-6ao
f1 (ppm)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6.49
6.48
5.16
5.14
5.11
5.09
5.00
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4.64
4.63
4.43
4.42
4.41
4.40
3.86
3.84
2.76
1.45
1.43
1.41
CO2Et
O
F
Ph
O
N
Ac
syn-6ap
0.98
1.08
3.22
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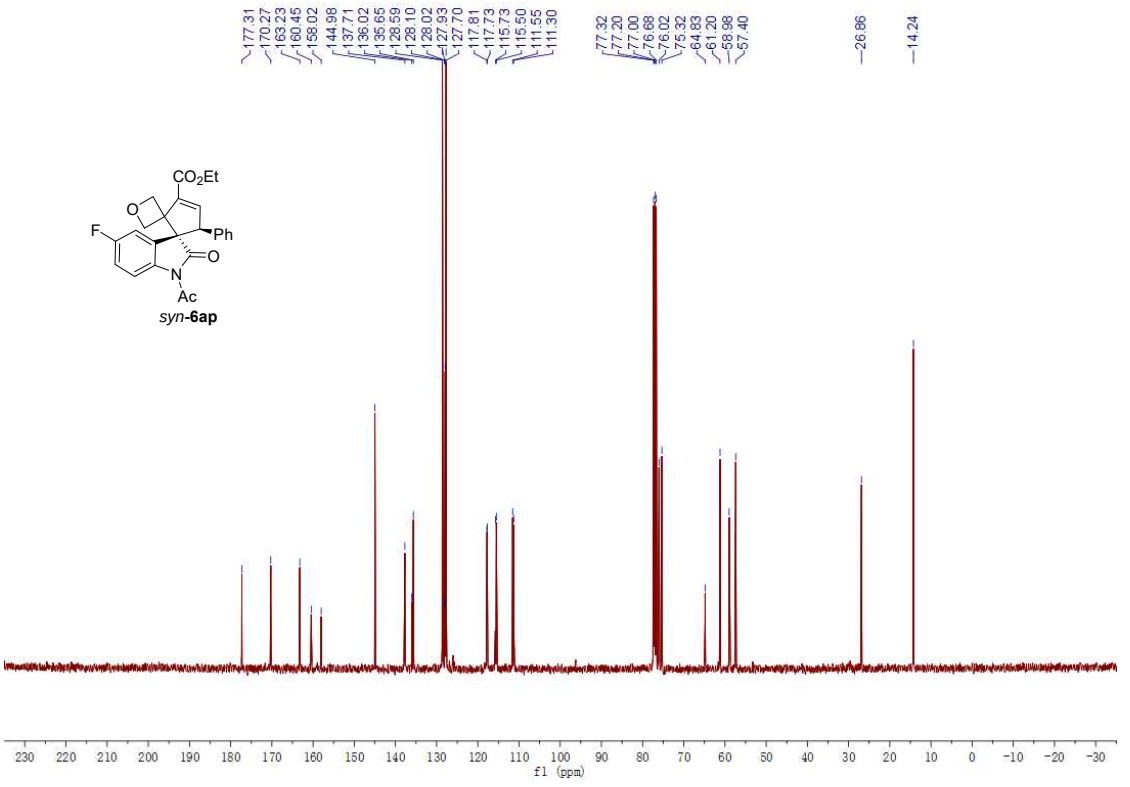
1.00
1.00
1.00
1.04
2.11
1.00
3.10
3.08
f1 (ppm)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128.10
128.02
127.93
127.70
117.81
117.73
115.73
115.50
111.55
111.30
77.32
77.20
77.00
76.68
76.02
75.32
64.83
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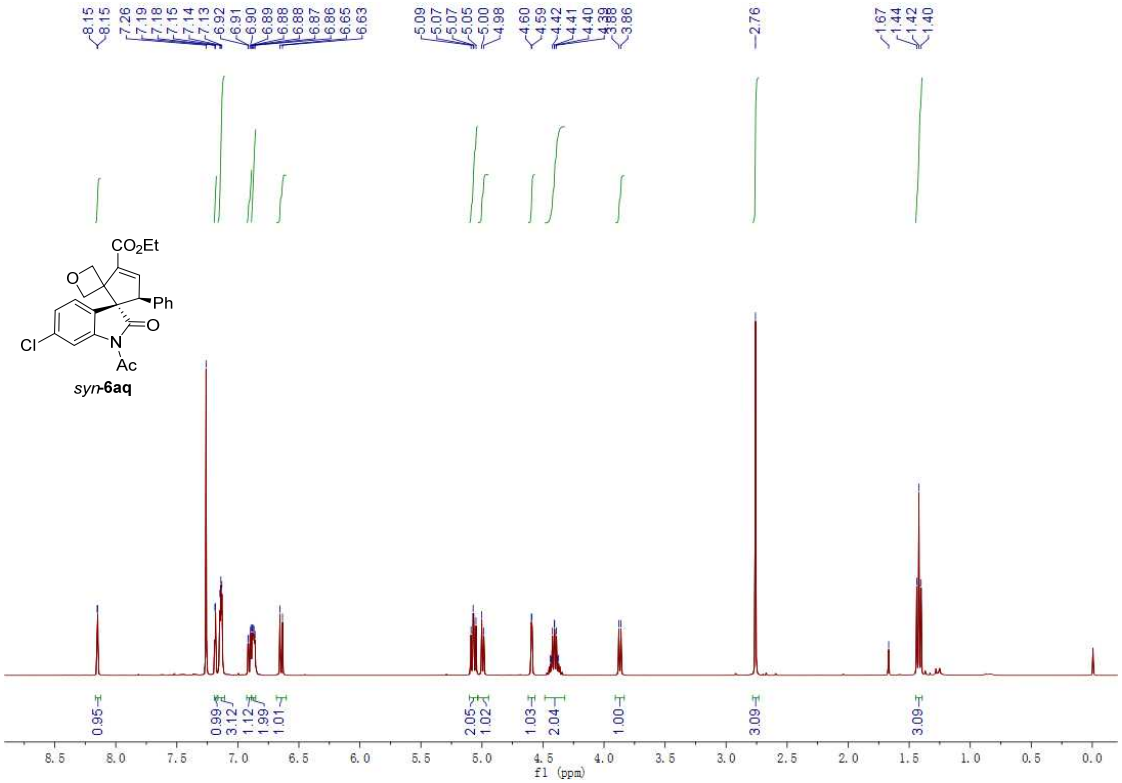
26.86
14.24
CO2Et
O
F
Ph
O
N
Ac
syn-6ap
f1 (ppm)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6.88
6.88
6.87
6.86
6.65
6.63
5.09
5.07
5.07
5.05
5.00
4.98
4.60
4.59
4.42
4.41
4.40
4.39
3.88
3.86
2.76
1.67
1.44
1.42
1.40
CO2Et
O
Ph
O
Cl
N
Ac
syn-6aq
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0.99
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1.12
1.99
1.01
2.05
1.02
1.03
2.04
1.00
3.09
3.09
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2.0
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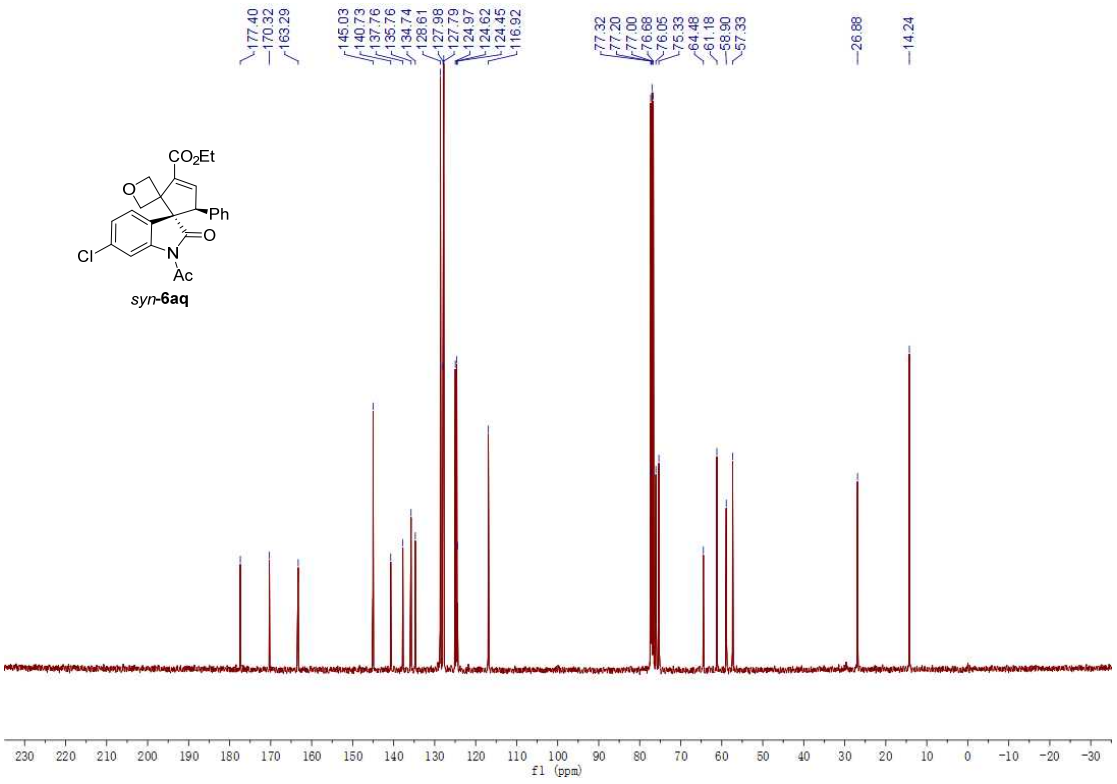
0.0
f1 (ppm)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124.62
124.45
116.92
77.32
77.20
77.00
76.68
76.05
75.33
64.48
61.18
58.90
57.33
26.88
14.24
CO2Et
O
Ph
O
Cl
N
Ac
syn-6aq
230
220
210
200
190
180
170
160
150
140
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120
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90
80
70
60
50
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30
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10
0
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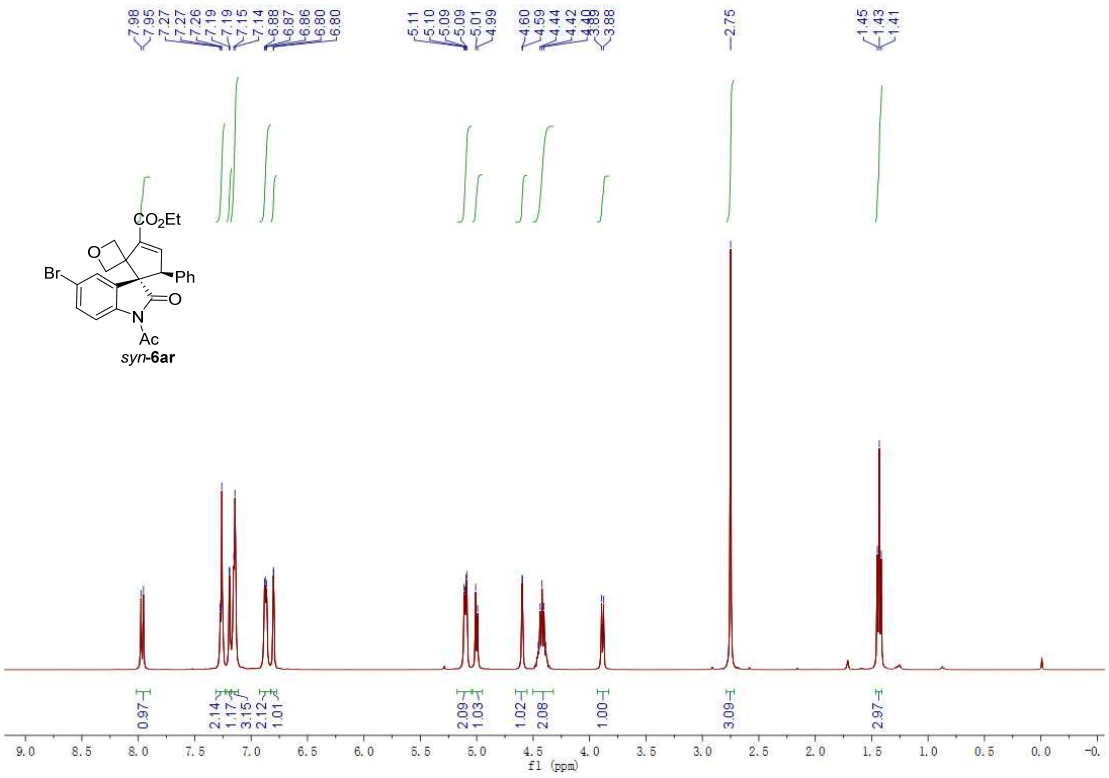
-20
-30
f1 (ppm)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6.80
6.80
5.11
5.10
5.09
5.09
5.01
4.99
4.60
4.59
4.44
4.42
3.89
3.88
2.75
1.45
1.43
1.41
CO2Et
O
Br
Ph
O
N
Ac
syn-6ar
0.97
2.14
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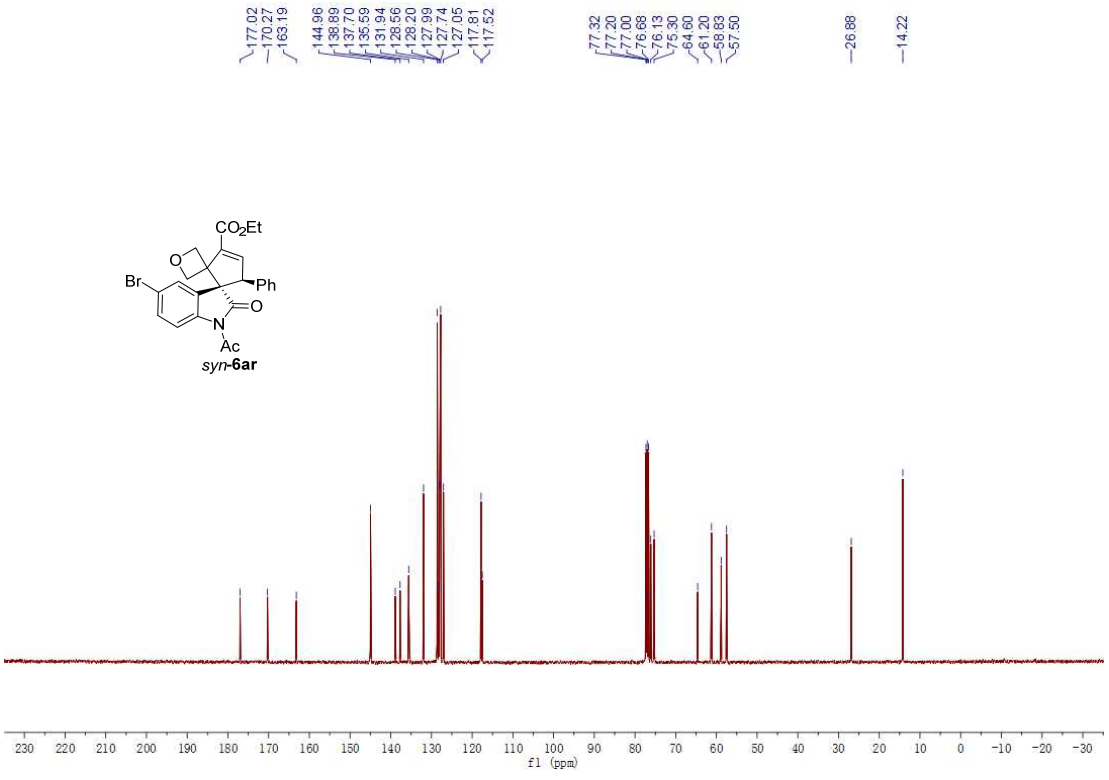
1.01
2.09
1.03
1.02
2.08
1.00
3.09
2.97
f1 (ppm)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127.05
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117.52
77.32
77.20
77.00
76.68
76.13
75.30
64.60
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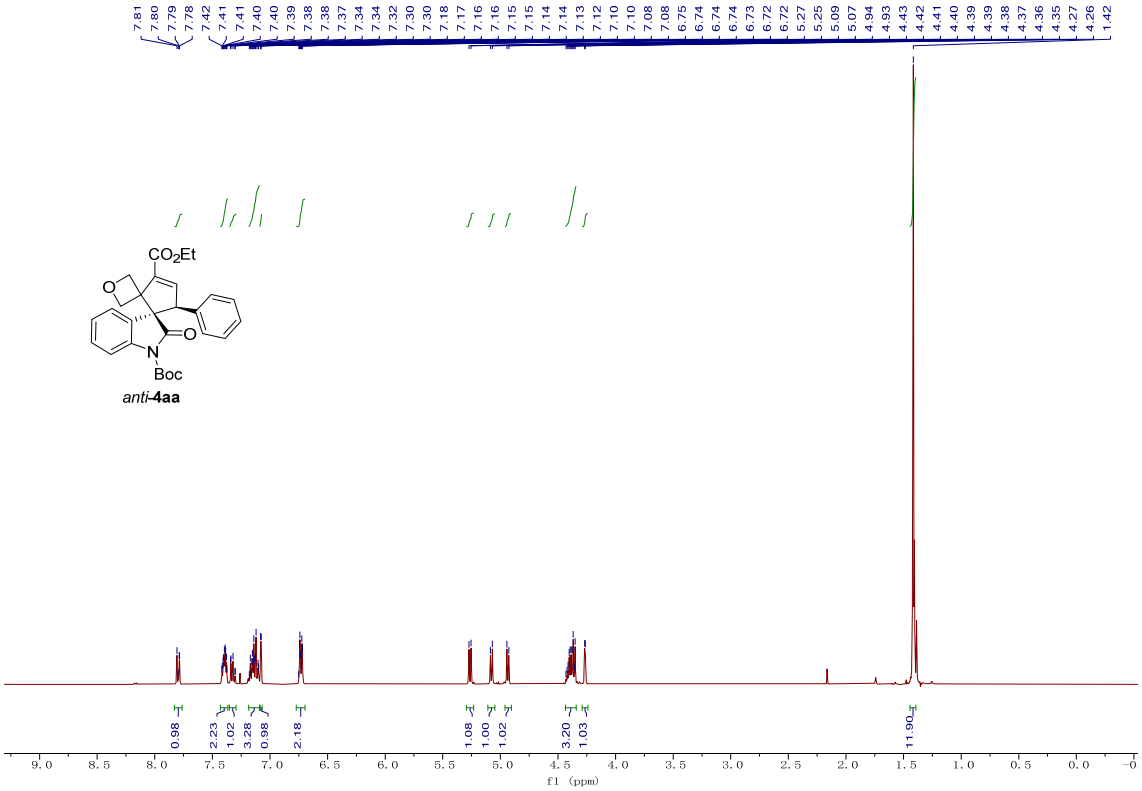
26.88
14.22
CO2Et
O
Br
Ph
O
N
Ac
syn-6ar
f1 (ppm)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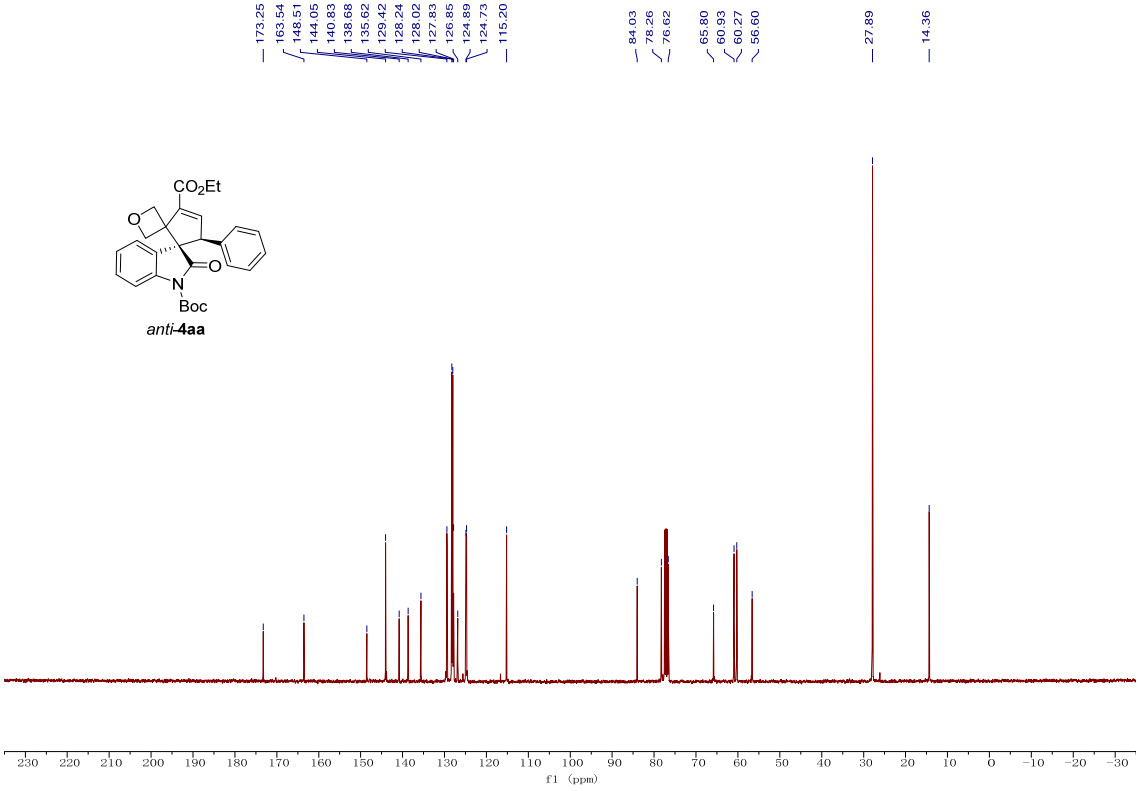
CO2Et
O
O
N
Boc
anti-4aa
0.98 2.23 1.02 3.28 0.98 2.18 1.08 1.00 1.02 3.20 1.03 11.90
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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84.03 78.26 76.62
65.80 60.93 60.27 56.60
27.89 14.36
CO2Et
O
O
N
Boc
anti-4aa
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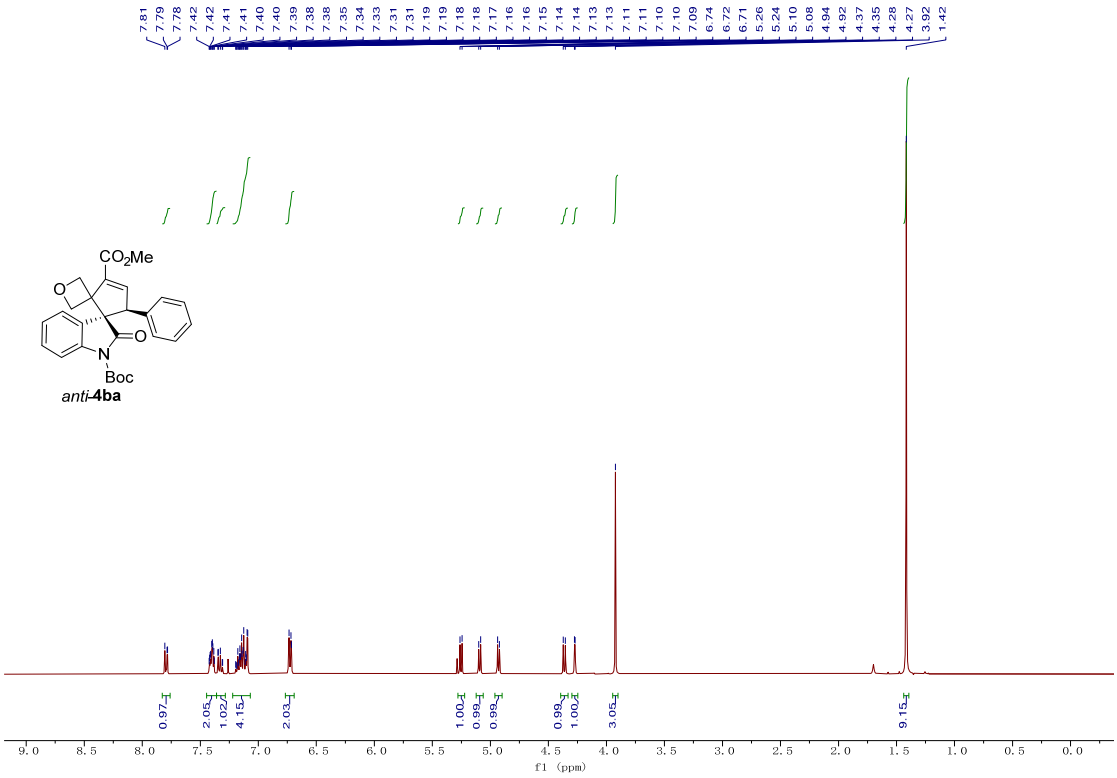
f1 (ppm)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7.13
7.13
7.11
7.11
7.10
7.10
7.09
6.74
6.72
6.71
5.26
5.24
5.10
5.08
4.94
4.92
4.37
4.35
4.28
4.27
3.92
1.42
CO2Me
O
O
N
Boc
anti-4ba
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1.00
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1.00
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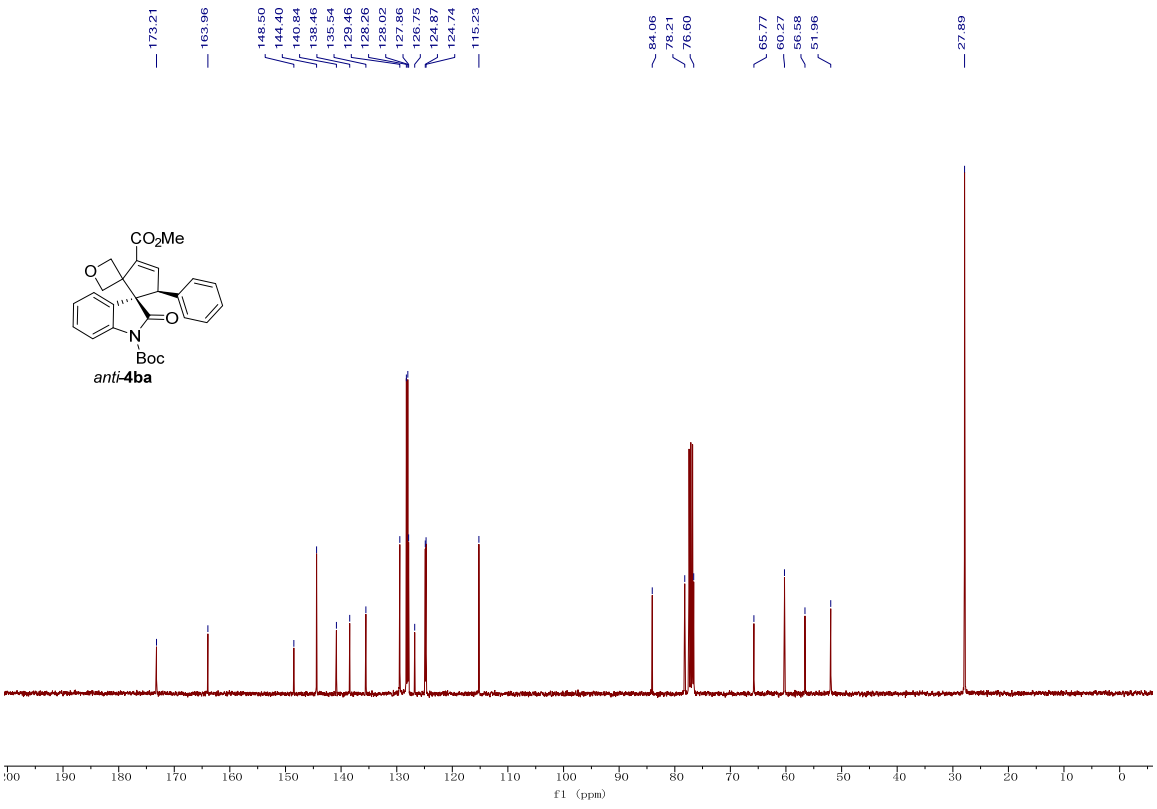
9.15
f1 (ppm)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129.46
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128.02
127.86
126.75
124.87
124.74
115.23
84.06
78.21
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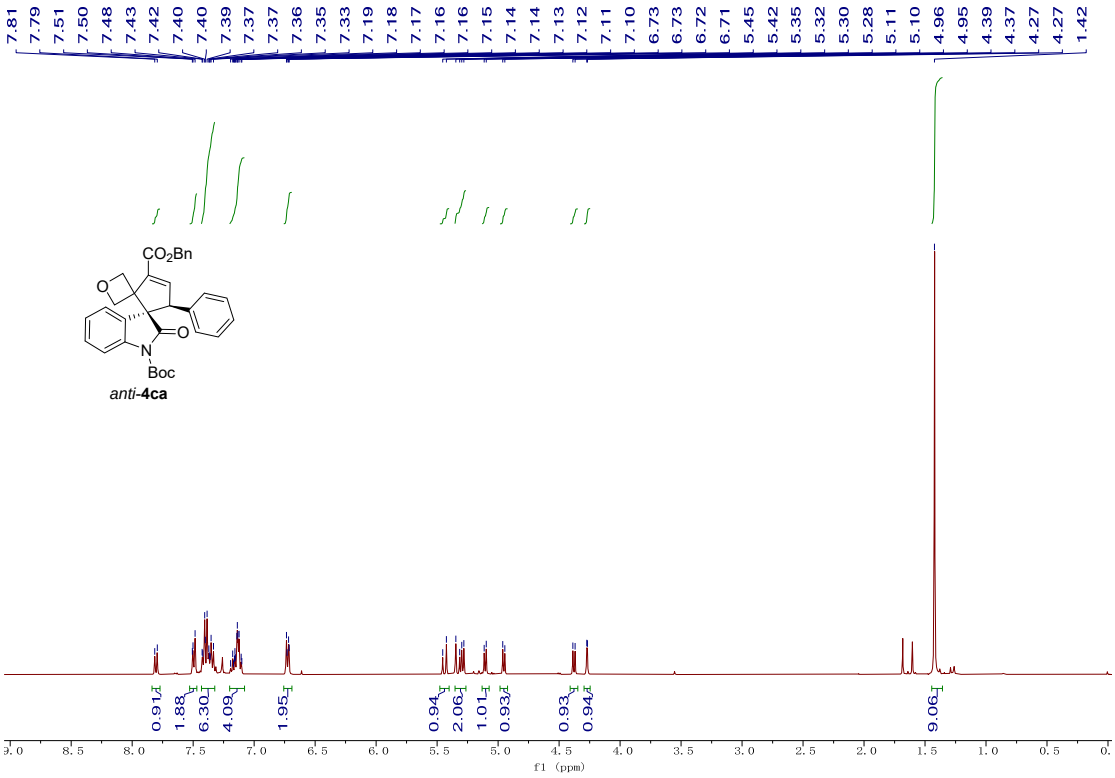
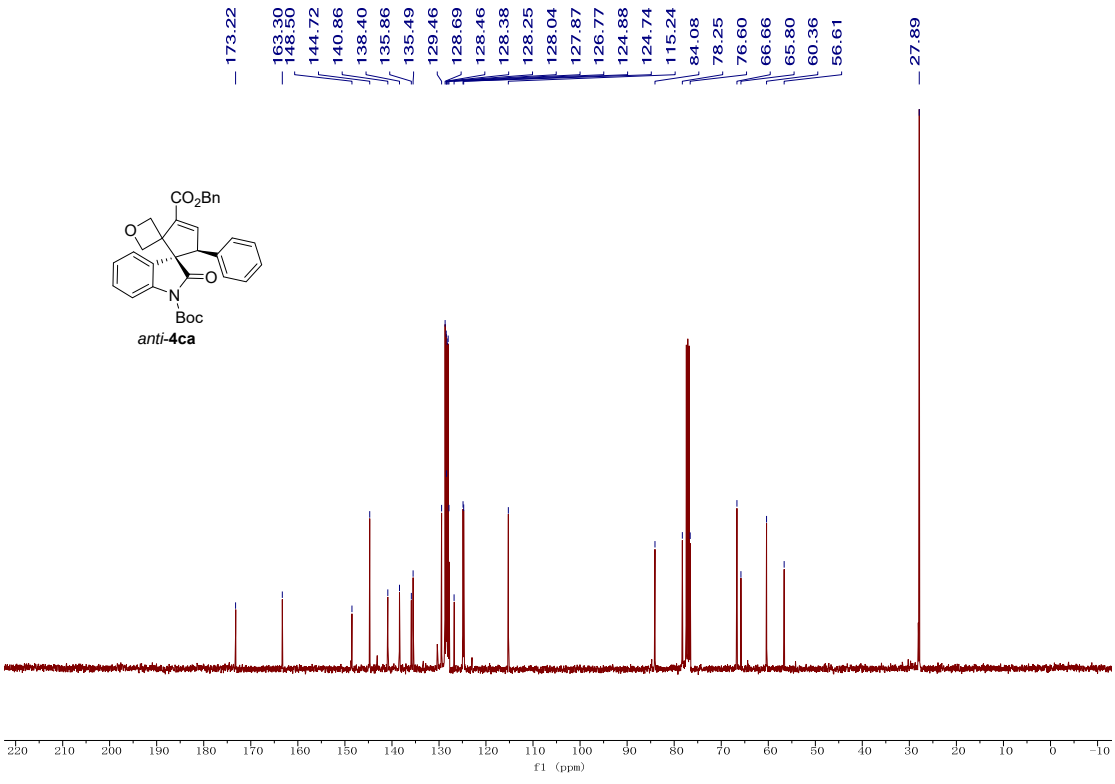
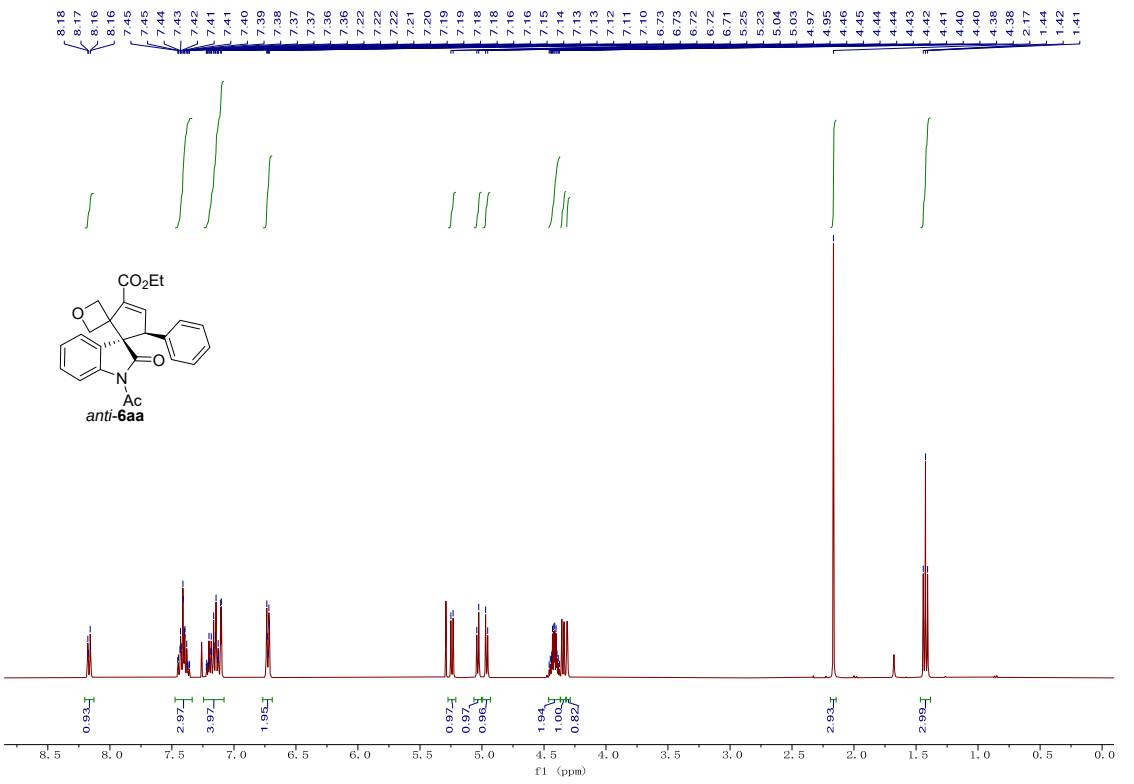
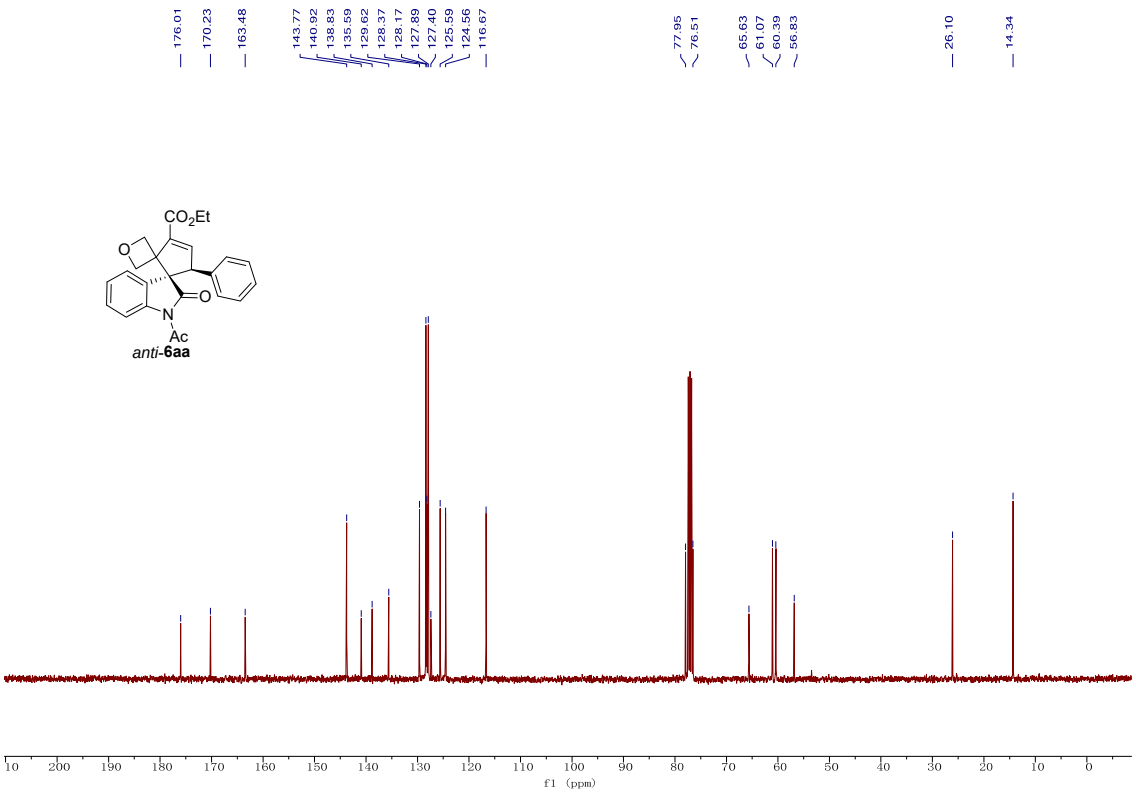
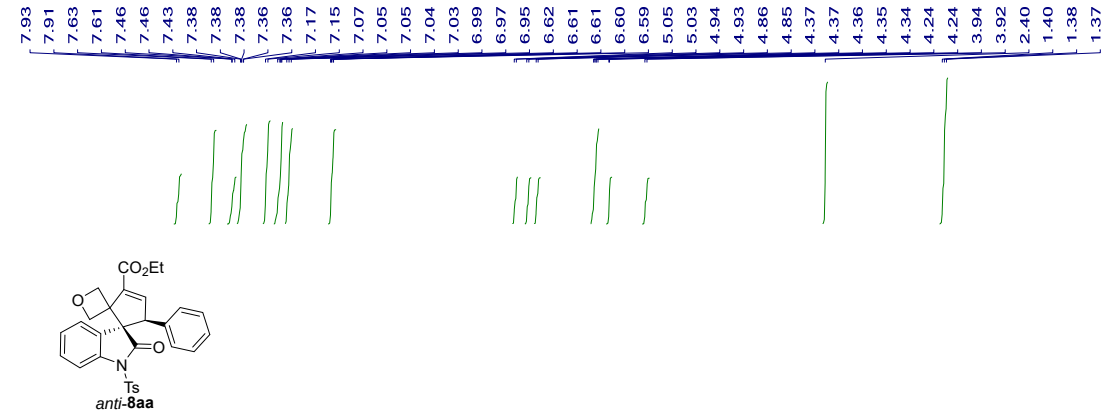
56.58
51.96
27.89
CO2Me
O
O
N
Boc
anti-4ba
f1 (ppm)

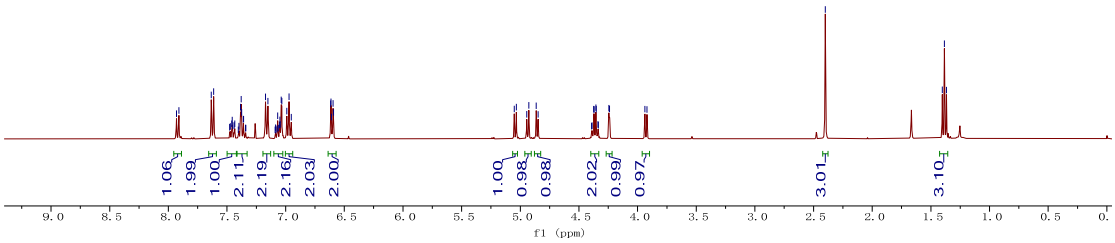

7.81 7.79 7.51 7.50 7.48 7.43 7.42 7.40 7.40 7.39 7.37 7.37 7.36 7.35 7.33 7.19 7.18 7.17 7.16 7.16 7.15 7.14 7.14 7.13 7.12 7.11 7.10 6.73 6.73 6.72 6.71 5.45 5.42 5.35 5.32 5.30 5.28 5.11 5.10 4.96 4.95 4.39 4.37 4.27 4.27 1.42
CO2Bn
O
O
N
Boc
anti-4ca
0.91 1.88 6.30 4.09 1.95 0.94 2.06 1.01 0.93 0.93 0.94 9.06
f1 (ppm)

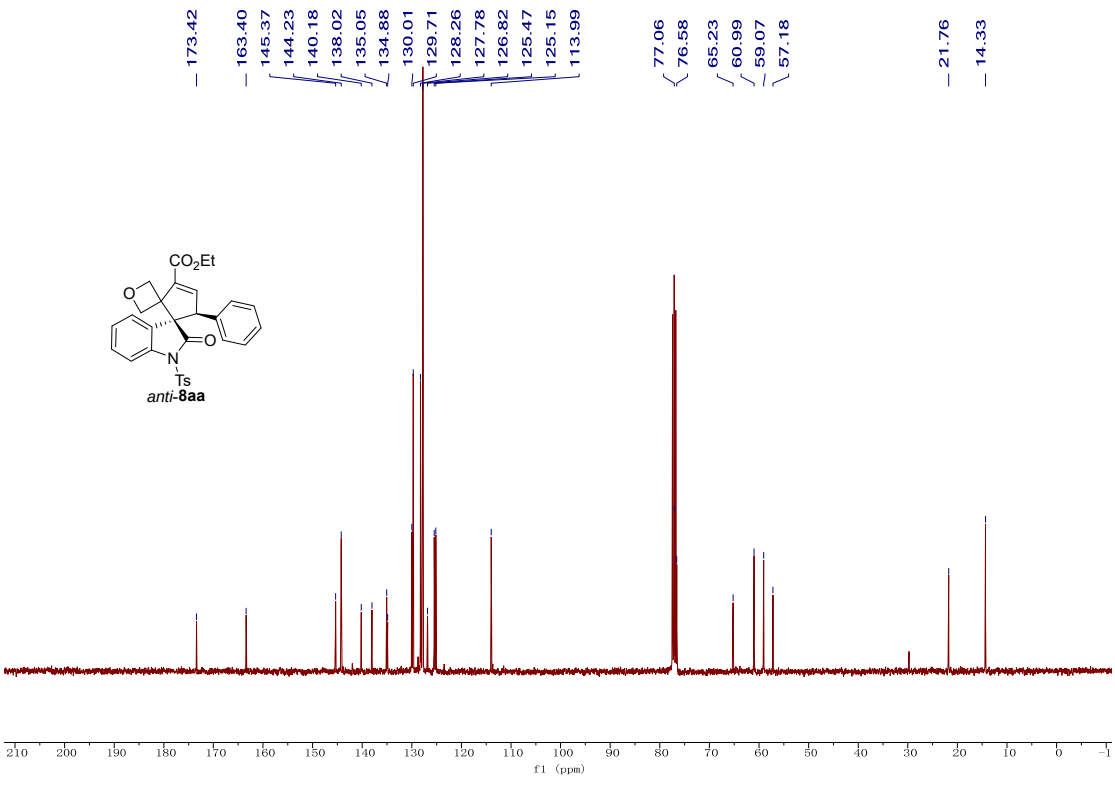

173.22 163.30 148.50 144.72 140.86 138.40 135.86 135.49 129.46 128.69 128.46 128.38 128.25 128.04 127.87 126.77 124.88 124.74 115.24 84.08 78.25 76.60 66.66 65.80 60.36 56.61 27.89
CO2Bn
O
O
N
Boc
anti-4ca
f1 (ppm)

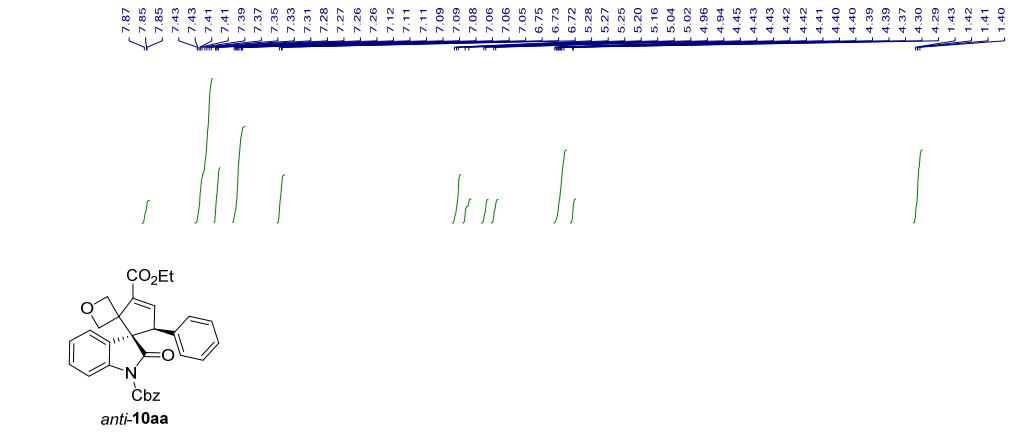

8.18 8.17 8.16 8.16 7.45 7.45 7.44 7.43 7.42 7.41 7.41 7.40 7.39 7.38 7.37 7.37 7.36 7.36 7.22 7.22 7.22 7.21 7.20 7.19 7.19 7.18 7.18 7.16 7.16 7.15 7.14 7.13 7.13 7.12 7.11 7.10 6.73 6.73 6.72 6.72 6.71 5.25 5.23 5.04 5.03 4.97 4.95 4.46 4.45 4.44 4.44 4.43 4.42 4.41 4.40 4.40 4.38 2.17 1.44 1.42 1.41
CO2Et
O
O
N
Ac
anti-6aa
0.93 2.97 3.97 1.95 0.97 0.97 0.96 1.94 1.00 0.82 2.93 2.99
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


176.01 170.23 163.48 143.77 140.92 138.83 135.59 129.62 128.37 128.17 127.89 127.40 125.59 124.56 116.67 77.95 76.51 65.63 61.07 60.39 56.83 26.10 14.34
CO2Et
O
O
N
Ac
anti-6aa
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

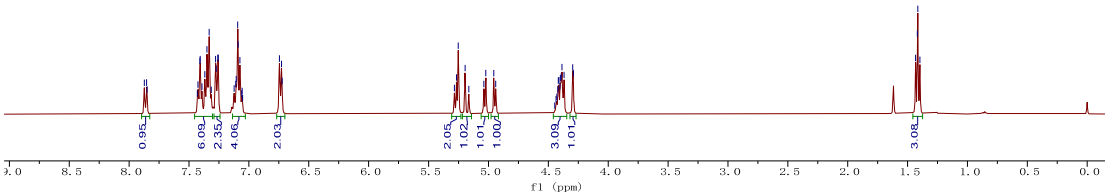

7.93 7.91 7.63 7.61 7.46 7.46 7.43 7.38 7.38 7.38 7.36 7.36 7.17 7.15 7.07 7.05 7.05 7.04 7.03 6.99 6.97 6.95 6.62 6.61 6.61 6.60 6.59 5.05 5.03 4.94 4.93 4.86 4.85 4.37 4.37 4.36 4.35 4.34 4.24 4.24 3.94 3.92 2.40 1.40 1.38 1.37
CO2Et
O
O
N
Ts
anti-8aa

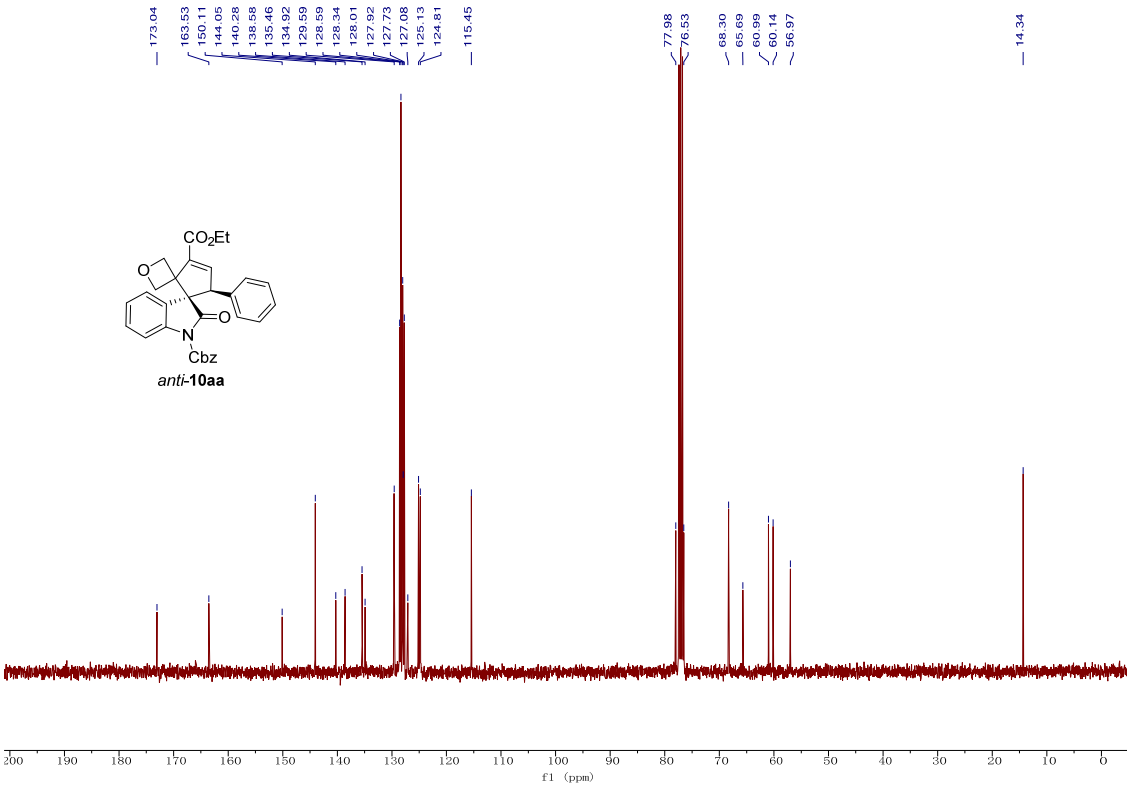

1.06 1.99 1.00 2.11 2.19 2.16 2.03 2.00 1.00 0.98 0.98 2.02 0.99 0.97 3.01 3.10
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.
f1 (ppm)


173.42 163.40 145.37 144.23 140.18 138.02 135.05 134.88 130.01 129.71 128.26 127.78 126.82 125.47 125.15 113.99 77.06 76.58 65.23 60.99 59.07 57.18 21.76 14.33
CO2Et
O
O
N
Ts
anti-8aa
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −1
f1 (ppm)

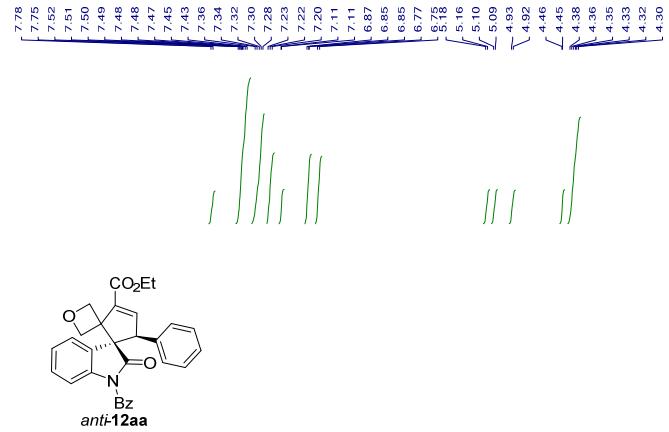


anti-**10aa**

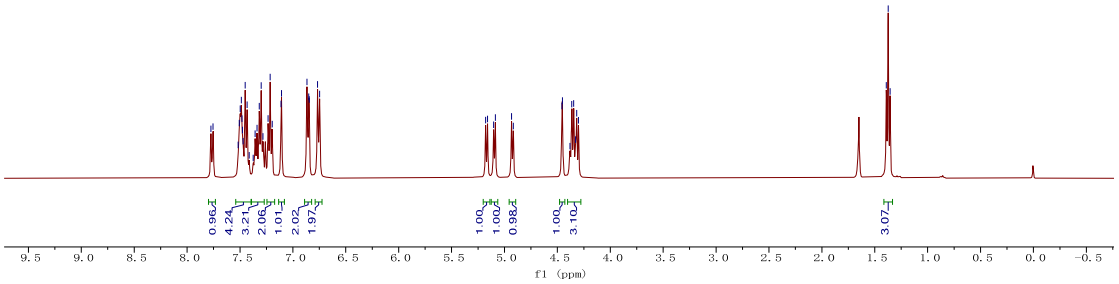


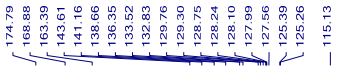


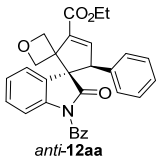
anti-**10aa**



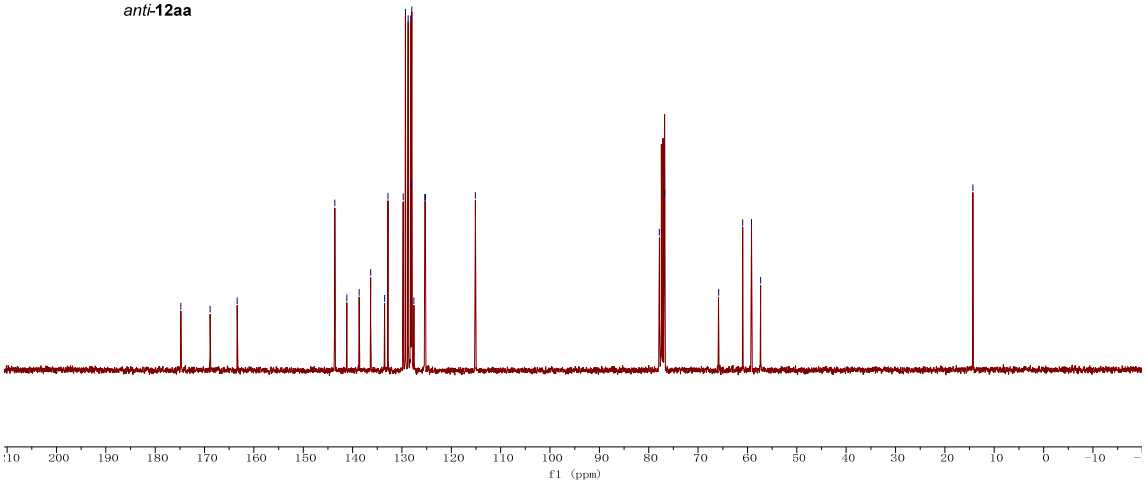
anti-**12aa**

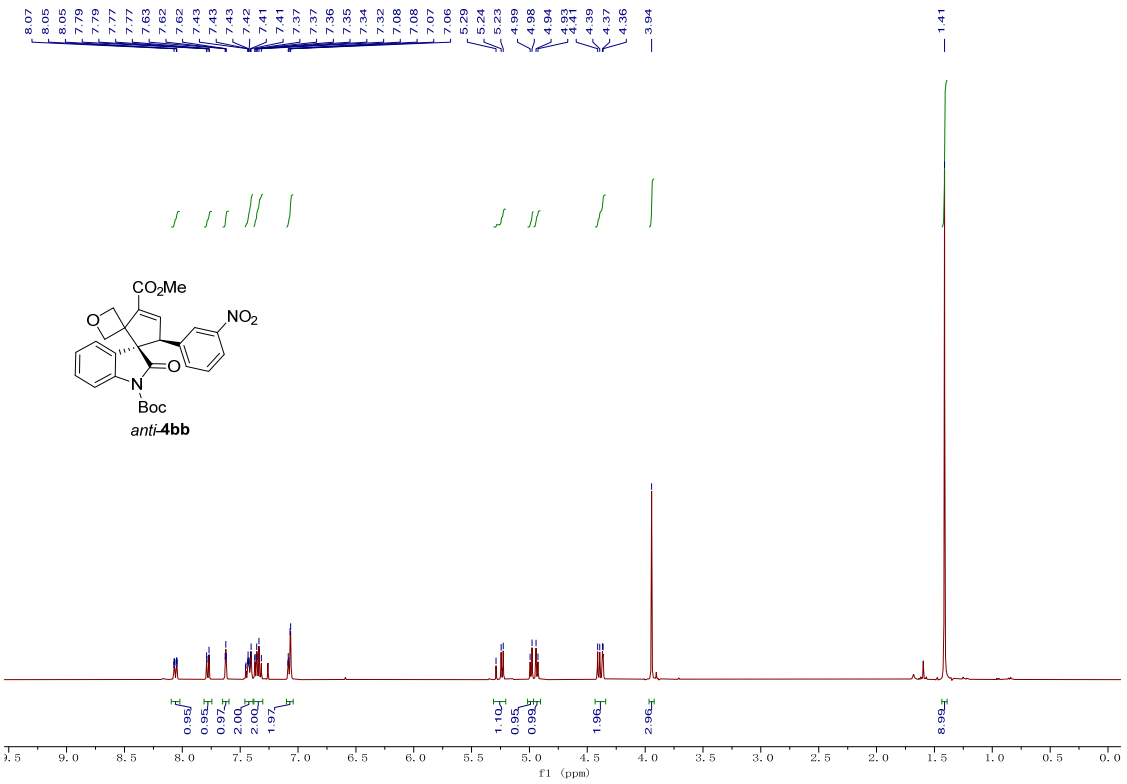


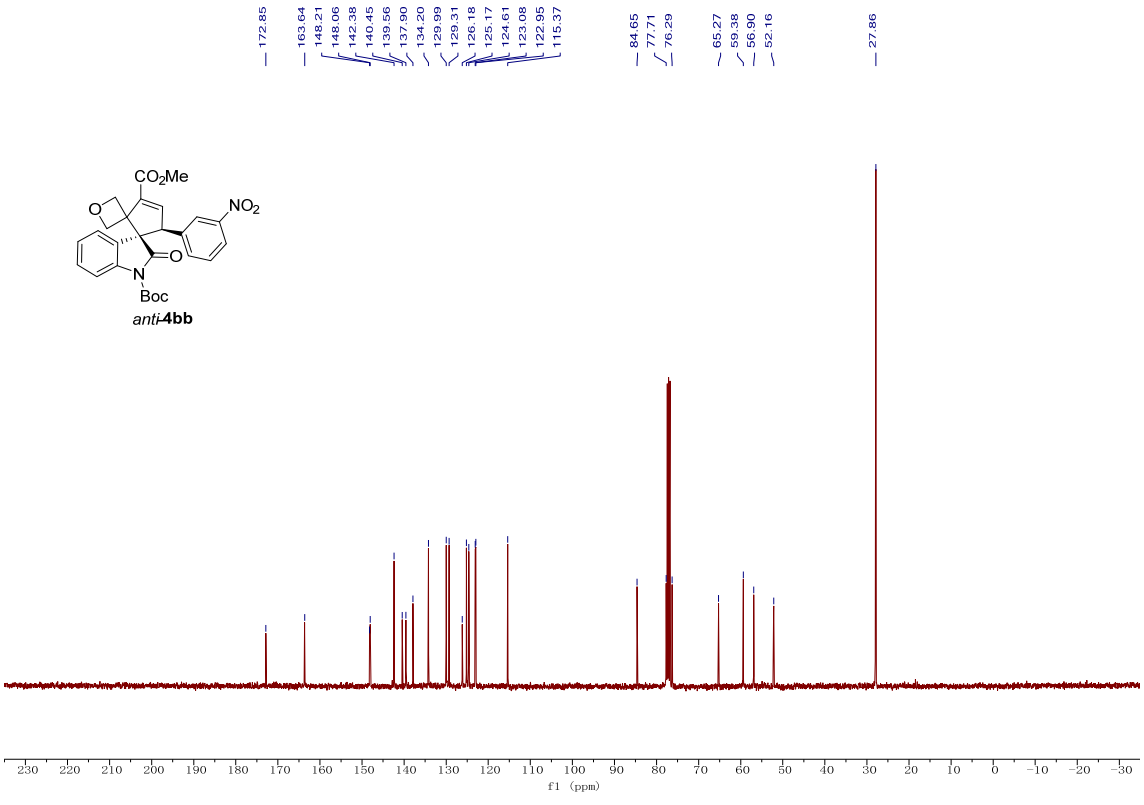




anti-**12aa**




8.07
8.05
8.05
7.79
7.79
7.77
7.77
7.63
7.62
7.62
7.43
7.43
7.43
7.42
7.41
7.41
7.37
7.37
7.36
7.35
7.34
7.32
7.08
7.08
7.07
7.06
5.29
5.24
5.23
4.99
4.98
4.94
4.43
4.41
4.39
4.37
4.36
3.94
1.41
CO2Me
NO2
O
O
N
Boc
anti-4bb
0.95
0.96
0.97
2.00
2.00
1.97
1.10
0.95
0.99
1.96
2.96
8.99
f1 (ppm)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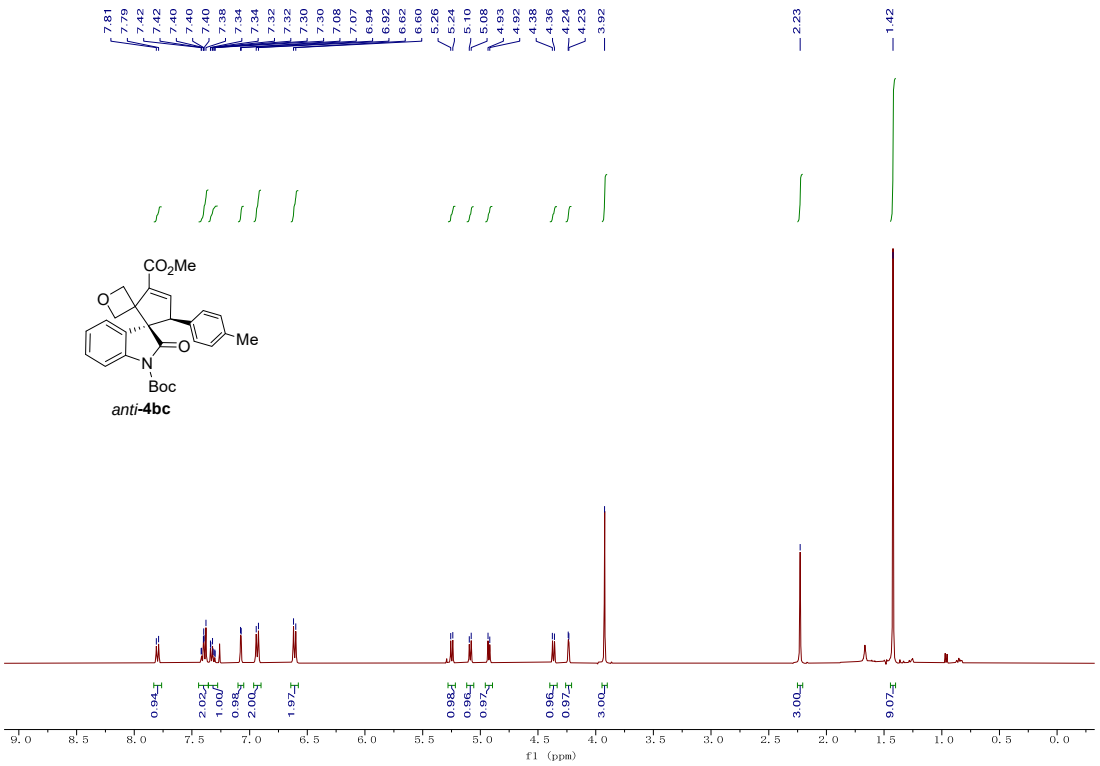
163.64
148.21
148.06
142.38
140.45
139.56
137.90
134.20
129.99
129.31
126.18
125.17
124.61
123.08
122.95
115.37
84.65
77.71
76.29
65.27
59.38
56.90
52.16
27.86
CO2Me
NO2
O
O
N
Boc
anti-4bb
f1 (ppm)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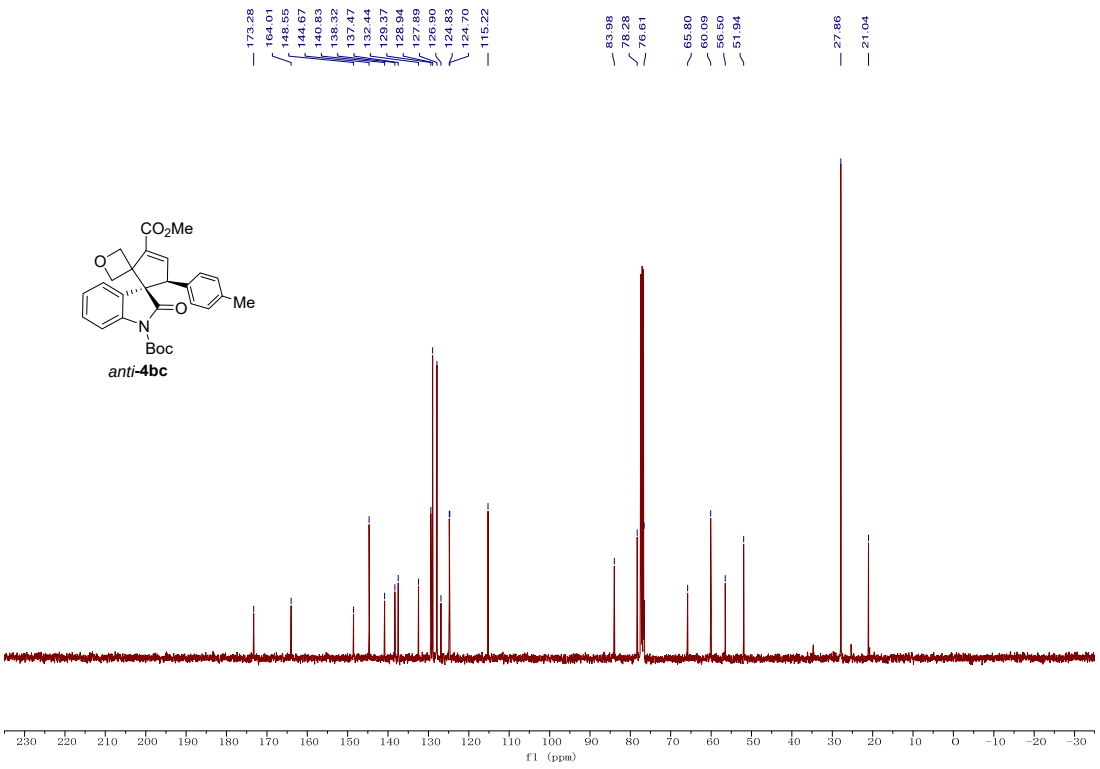
7.40
7.38
7.34
7.34
7.32
7.32
7.30
7.30
7.08
7.07
6.94
6.92
6.62
6.60
5.26
5.24
5.10
5.08
4.93
4.92
4.38
4.36
4.24
4.23
3.92
2.23
1.42
CO2Me
O
O
N
Boc
Me
anti-4bc
0.94
2.02
1.00
0.98
2.00
1.97
0.98
0.96
0.97
0.96
0.97
3.00
3.00
9.07
f1 (ppm)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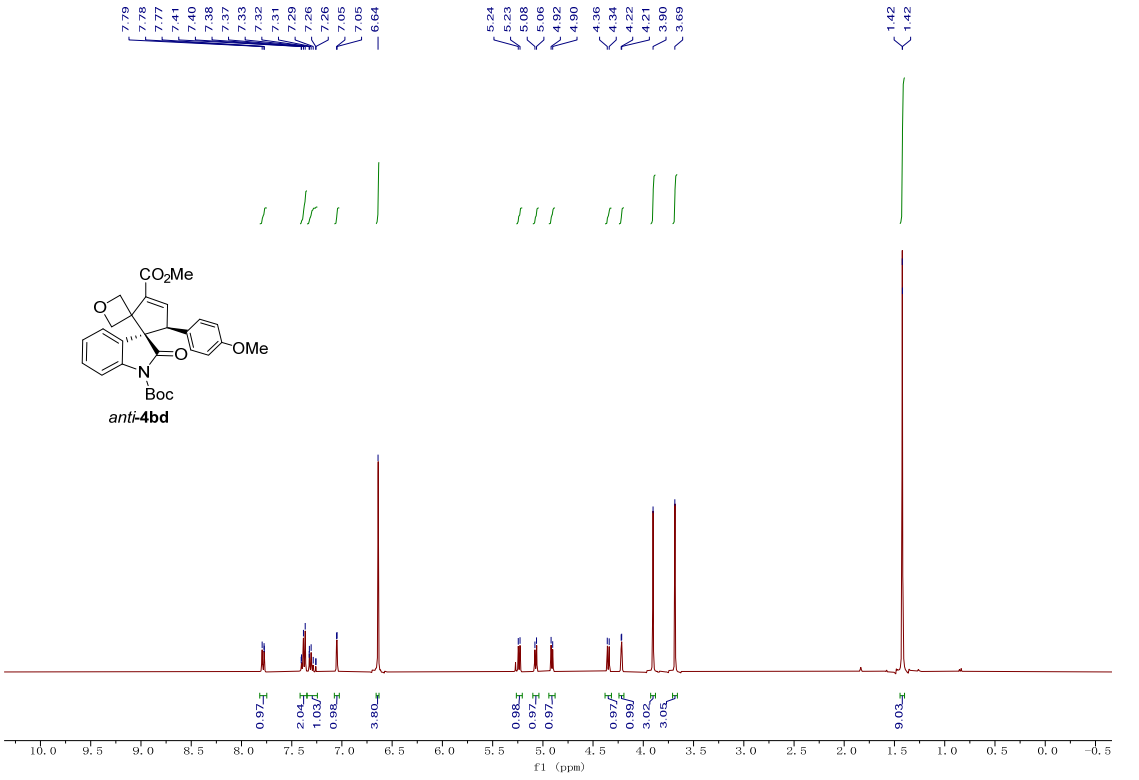
144.67
140.83
138.32
137.47
132.44
129.37
128.94
127.89
126.90
124.83
124.70
115.22
83.98
78.28
76.61
65.80
60.09
56.50
51.94
27.86
21.04
CO2Me
O
O
N
Boc
Me
anti-4bc
f1 (ppm)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7.77
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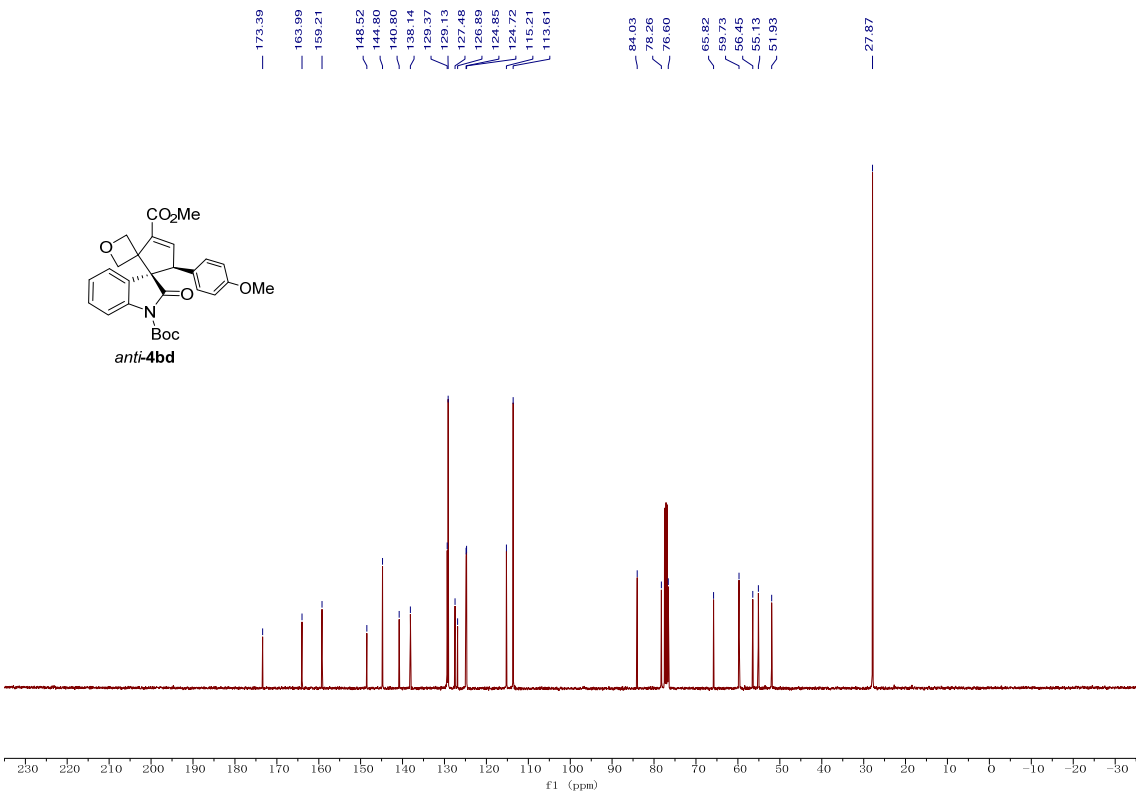
7.38
7.37
7.33
7.32
7.31
7.29
7.26
7.26
7.05
7.05
6.64
5.24
5.23
5.08
5.06
4.92
4.90
4.36
4.34
4.22
4.21
3.90
3.69
1.42
1.42
CO2Me
O
O
OMe
N
Boc
anti-4bd
0.97
2.04
1.03
0.98
3.80
0.98
0.97
0.97
0.97
0.99
3.02
3.05
9.03
f1 (ppm)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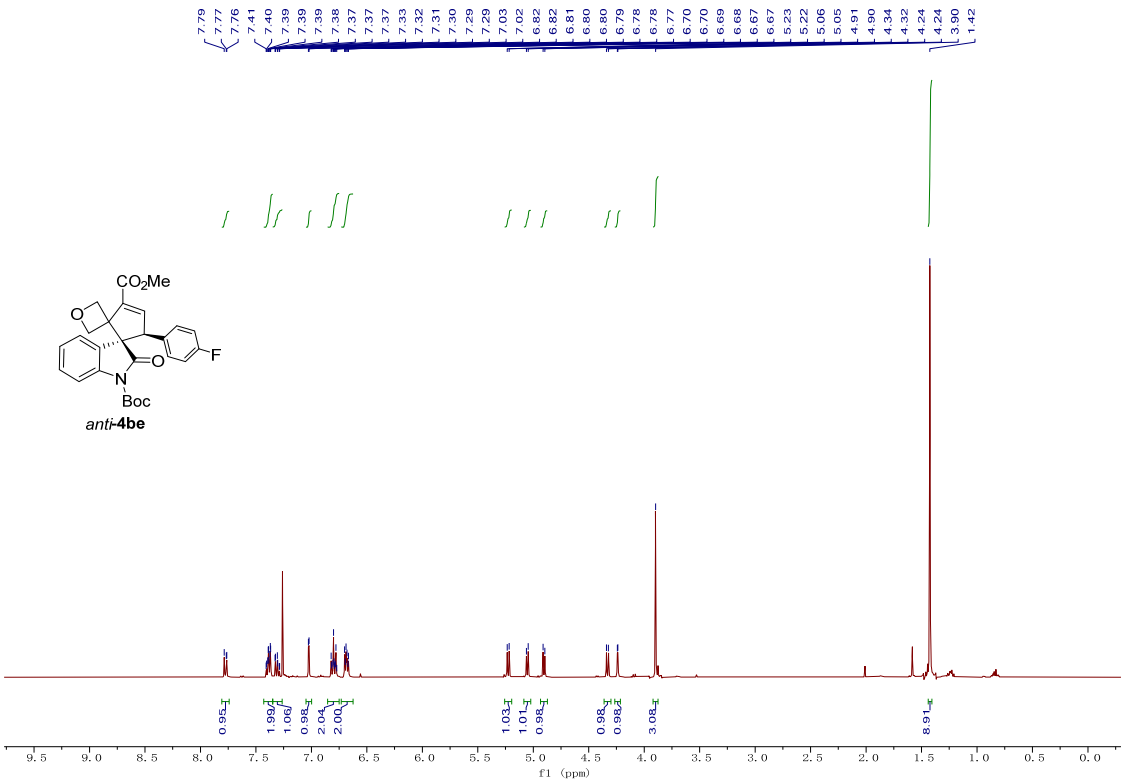
127.48
126.89
124.85
124.72
115.21
113.61
84.03
78.26
76.60
65.82
59.73
56.45
55.13
51.93
27.87
CO2Me
O
O
OMe
N
Boc
anti-4bd
f1 (ppm)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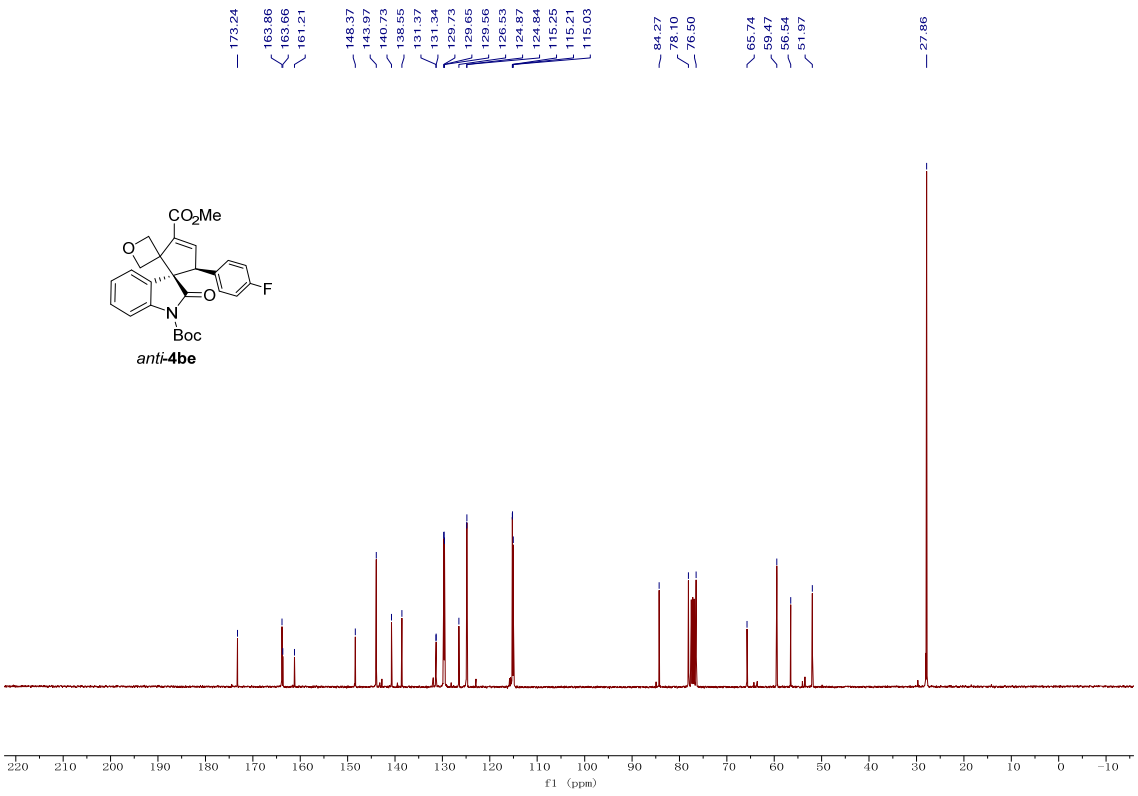
7.37
7.37
7.33
7.32
7.31
7.30
7.29
7.29
7.03
7.02
6.82
6.82
6.81
6.80
6.80
6.79
6.78
6.78
6.77
6.70
6.70
6.69
6.68
6.67
6.67
5.23
5.22
5.06
5.05
4.91
4.90
4.34
4.32
4.24
4.24
3.90
1.42
CO2Me
O
F
O
N
Boc
anti-4be
0.95
1.99
1.06
0.98
2.04
2.00
1.03
1.01
0.98
0.98
0.98
3.08
8.91
f1 (ppm)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161.21
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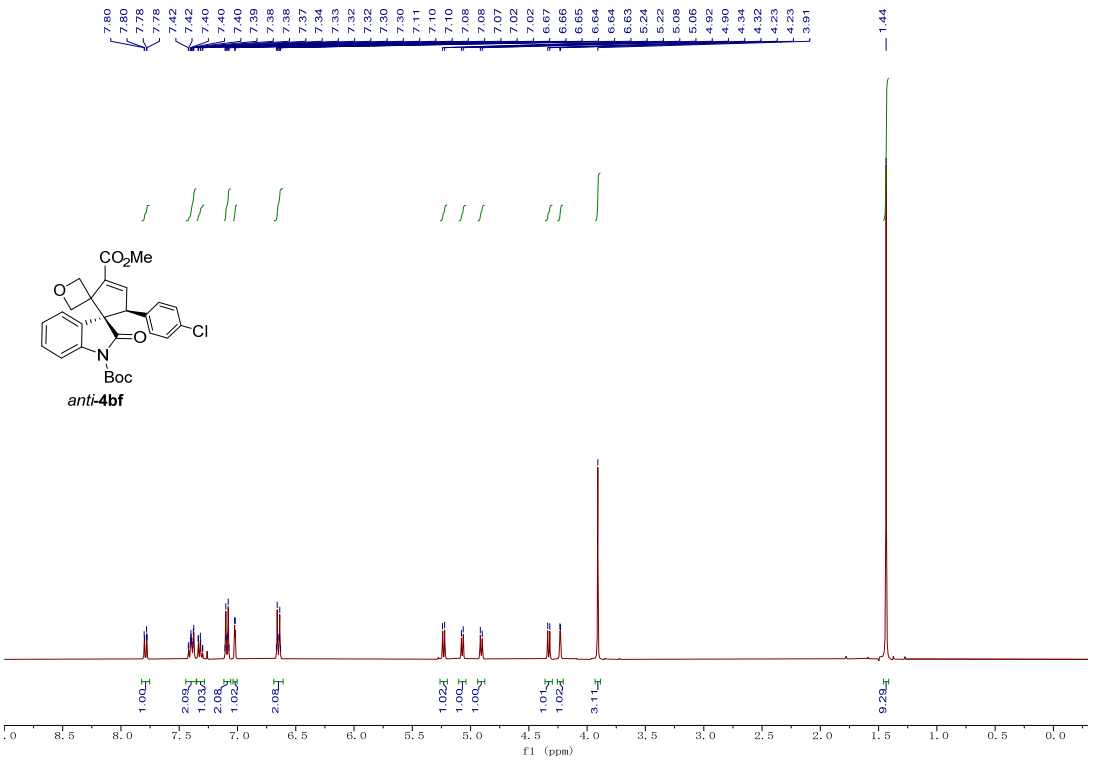
131.34
129.73
129.65
129.56
126.53
124.87
124.84
115.25
115.21
115.03
84.27
78.10
76.50
65.74
59.47
56.54
51.97
27.86
CO2Me
O
F
O
N
Boc
anti-4be
f1 (ppm)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7.38
7.37
7.34
7.33
7.32
7.32
7.30
7.30
7.11
7.10
7.10
7.08
7.08
7.07
7.02
7.02
6.67
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6.65
6.64
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6.63
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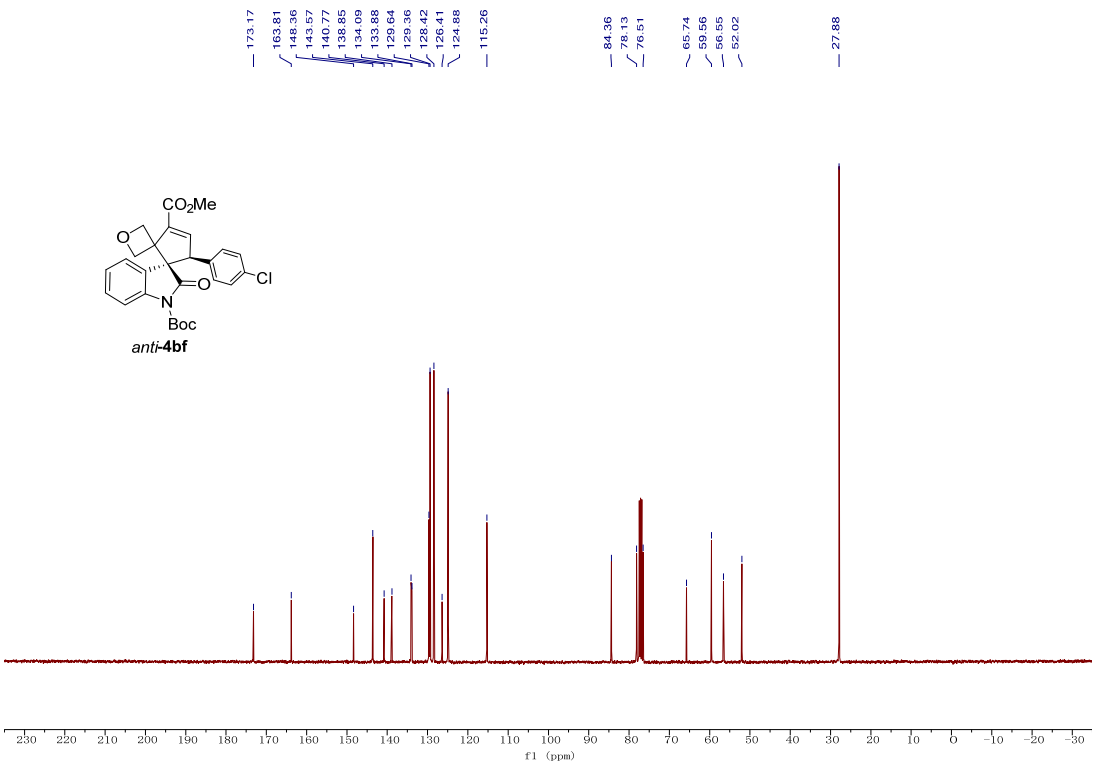
5.22
5.08
5.06
4.92
4.90
4.34
4.32
4.23
4.23
3.91
1.44
CO2Me
O
Cl
O
N
Boc
anti-4bf
1.00
2.09
1.03
2.08
1.02
2.08
1.02
1.00
1.00
1.01
1.02
3.11
9.29
f1 (ppm)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140.77
138.85
134.09
133.88
129.64
129.36
128.42
126.41
124.88
115.26
84.36
78.13
76.51
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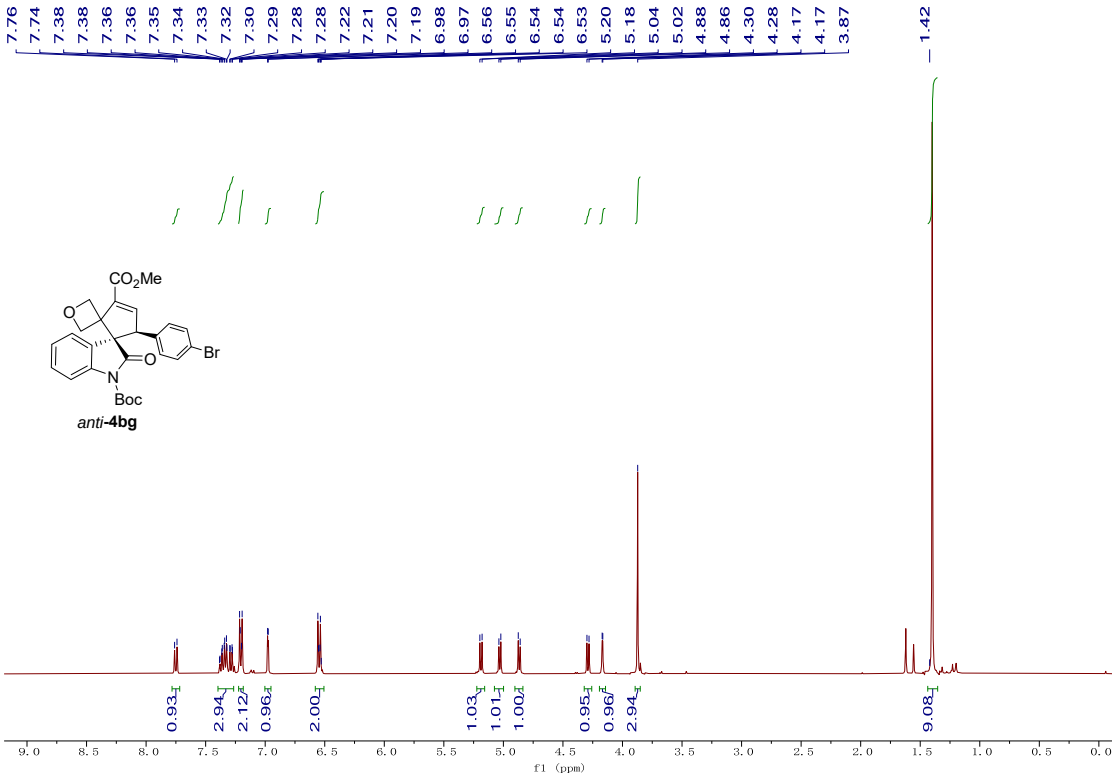
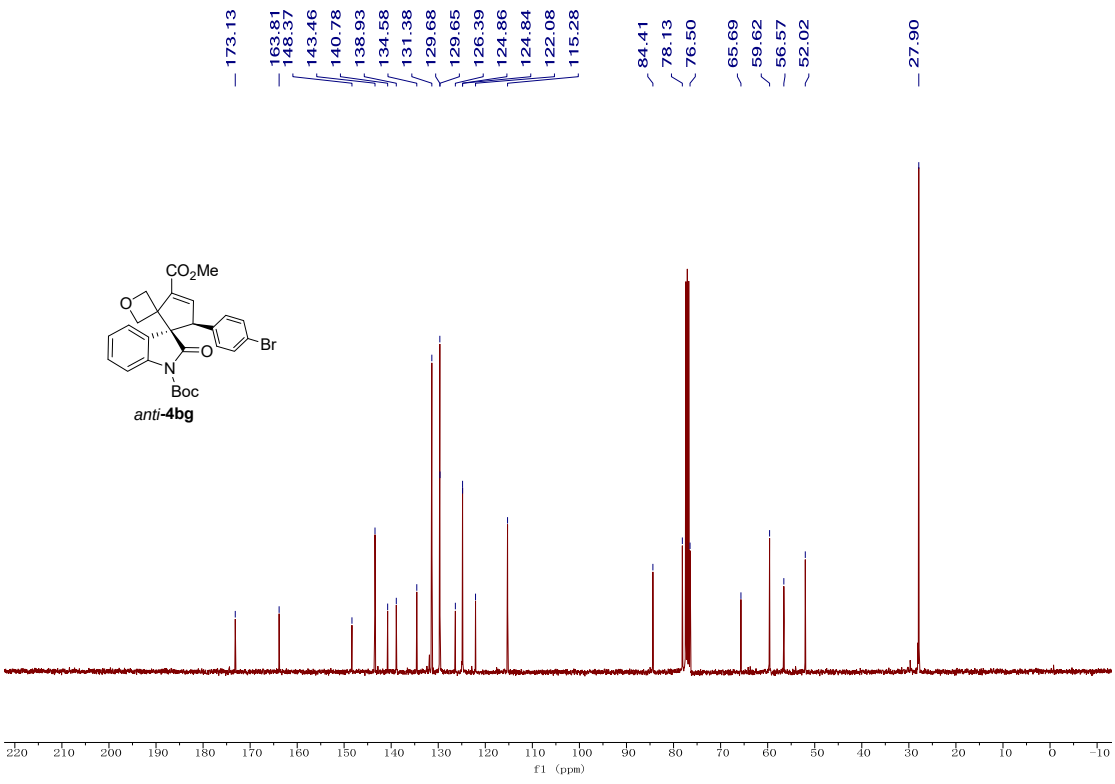
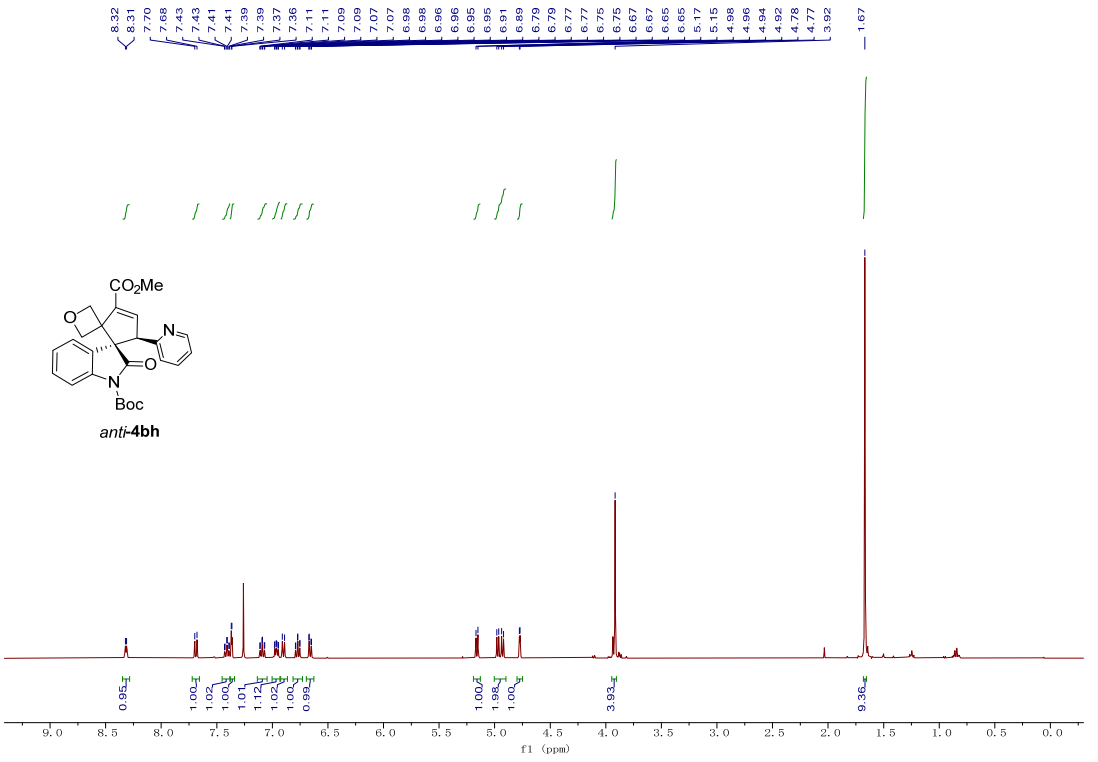
O
Cl
O
N
Boc
anti-4bf
f1 (ppm)


7.76 7.74 7.38 7.38 7.36 7.36 7.35 7.34 7.33 7.32 7.30 7.29 7.28 7.28 7.22 7.21 7.20 7.19 6.98 6.97 6.56 6.55 6.54 6.54 6.53 5.20 5.18 5.04 5.02 4.88 4.86 4.30 4.28 4.17 4.17 3.87
1.42
CO2Me
O
O
N
Boc
Br
anti-4bg
0.93 2.94 2.12 0.96 2.00 1.03 1.01 1.00 0.95 0.96 2.94 9.08
f1 (ppm)


173.13
163.81 148.37 143.46 140.78 138.93 134.58 131.38 129.68 129.65 126.39 124.86 124.84 122.08 115.28
84.41 78.13 76.50 65.69 59.62 56.57 52.02
27.90
CO2Me
O
O
N
Boc
Br
anti-4bg
f1 (ppm)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7.09
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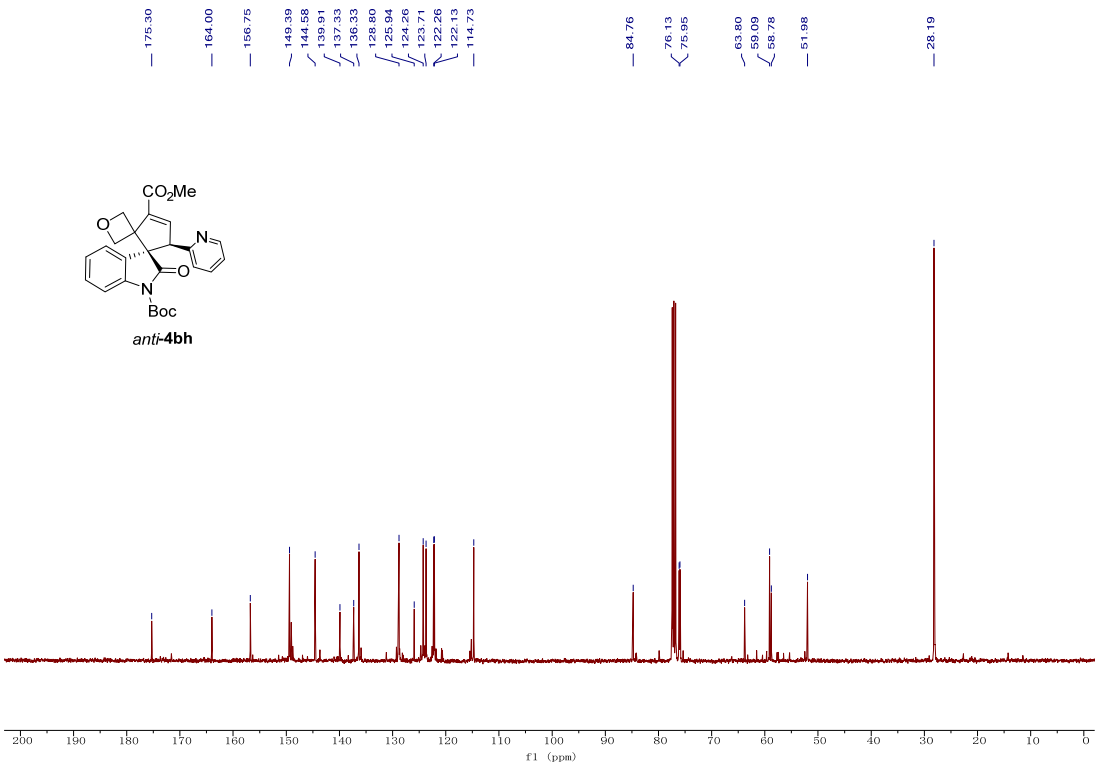
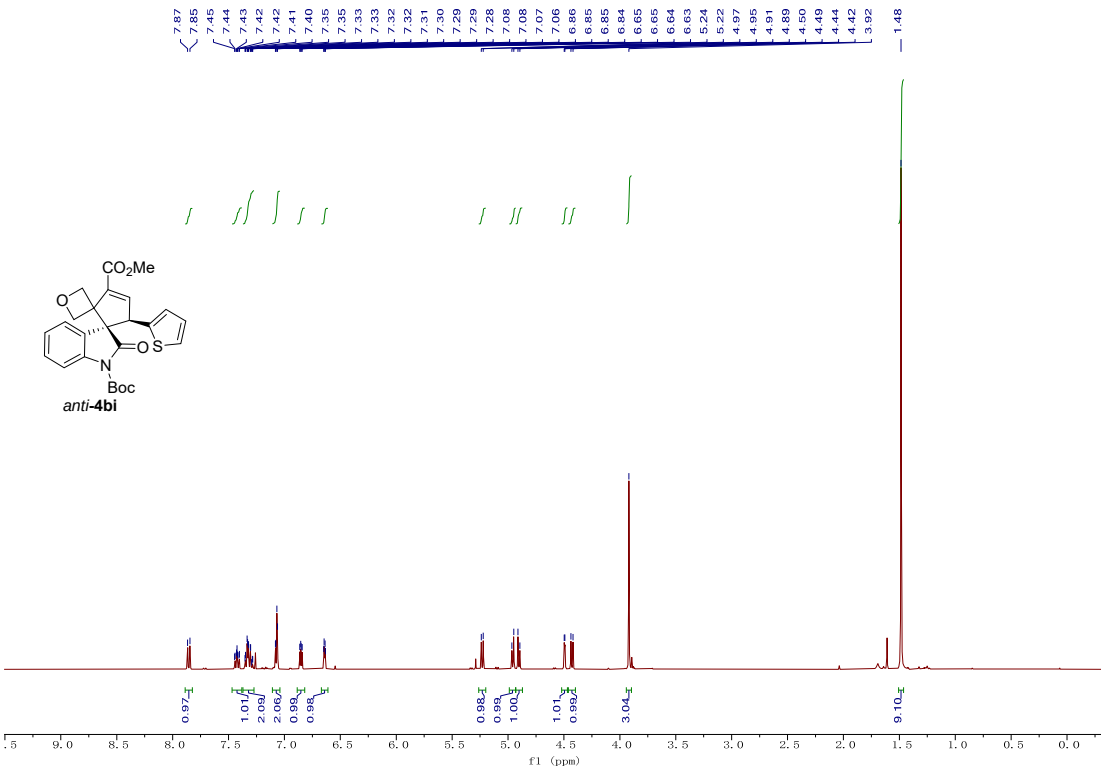
6.75
6.75
6.67
6.67
6.65
6.65
5.17
5.15
4.98
4.96
4.94
4.92
4.78
4.77
3.92
1.67
CO2Me
O
N
O
N
Boc
anti-4bh
0.95
1.00
1.02
1.00
1.01
1.12
1.02
1.00
0.99
1.00
1.98
1.00
3.93
9.36
f1 (ppm)


175.30
164.00
156.75
149.39
144.58
139.91
137.33
136.33
128.80
125.94
124.26
123.71
122.26
122.13
114.73
84.76
76.13
75.95
63.80
59.09
58.78
51.98
28.19
CO2Me
O
N
O
N
Boc
anti-4bh
f1 (ppm)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7.33
7.32
7.32
7.31
7.30
7.29
7.29
7.28
7.08
7.08
7.07
7.06
6.86
6.85
6.85
6.84
6.65
6.65
6.64
6.63
5.24
5.22
4.97
4.95
4.91
4.89
4.50
4.49
4.44
4.42
3.92
1.48
CO2Me
O
O
S
N
Boc
anti-4bi
0.97
1.01
2.09
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0.99
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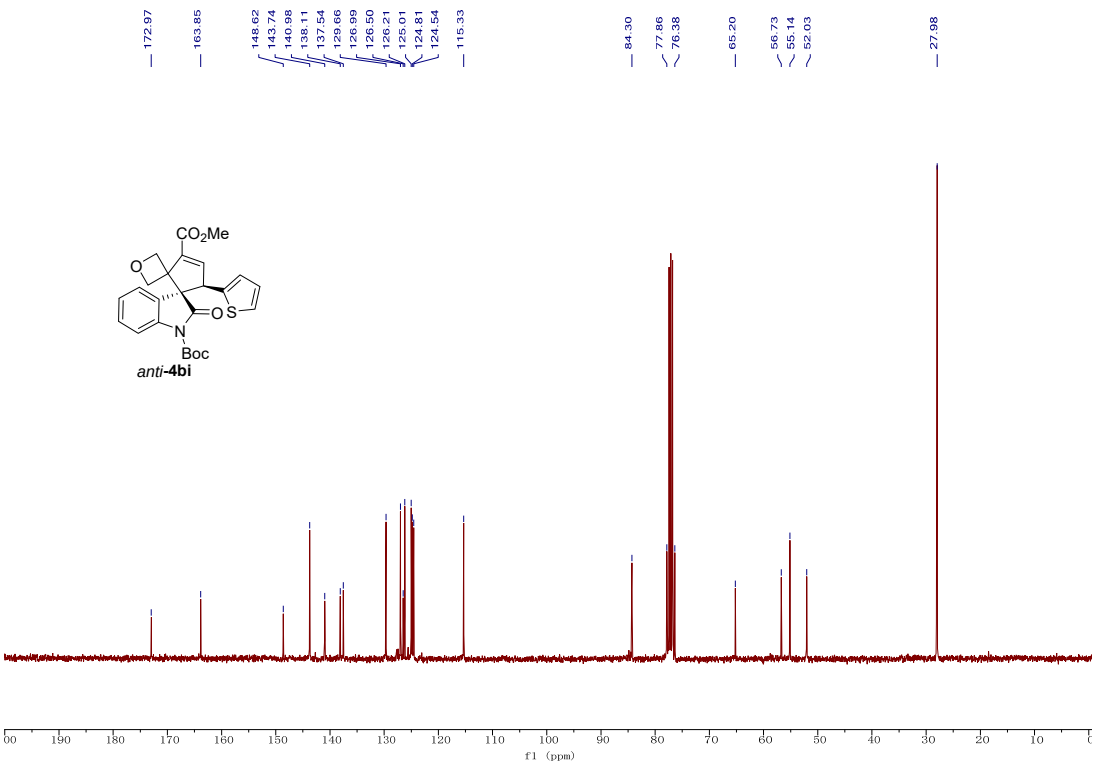
1.01
0.99
3.04
9.10
f1 (ppm)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125.01
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124.54
115.33
84.30
77.86
76.38
65.20
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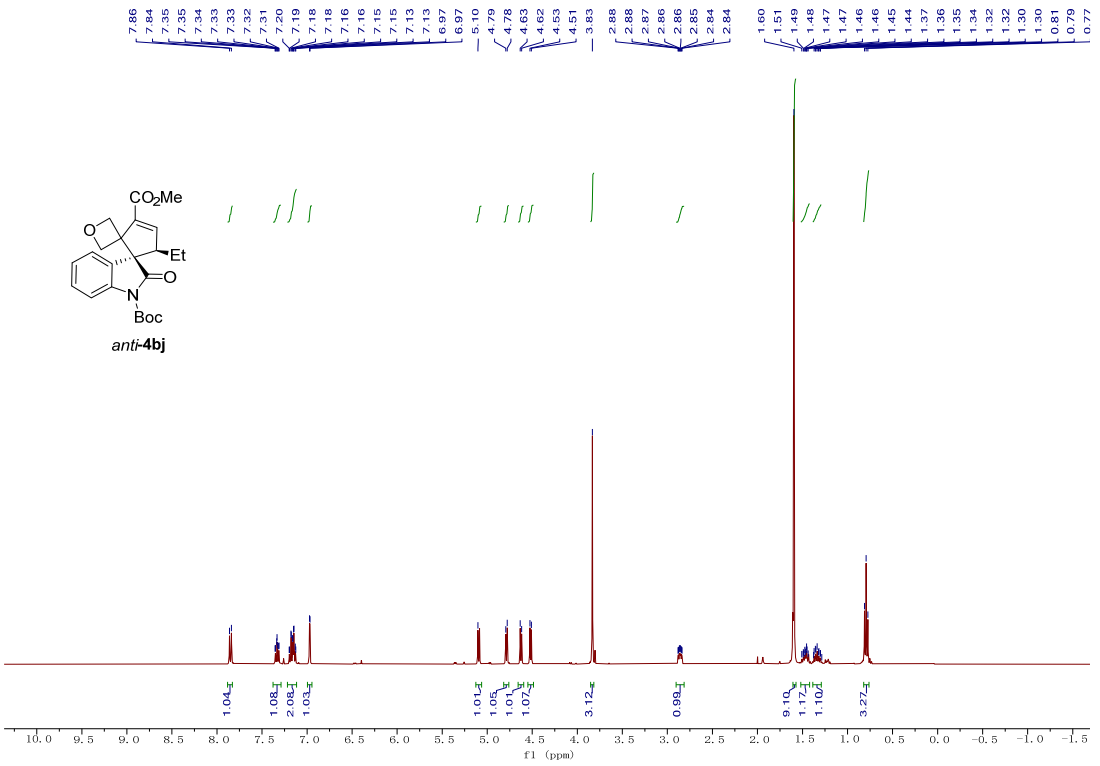
55.14
52.03
27.98
CO2Me
O
O
S
N
Boc
anti-4bi
f1 (ppm)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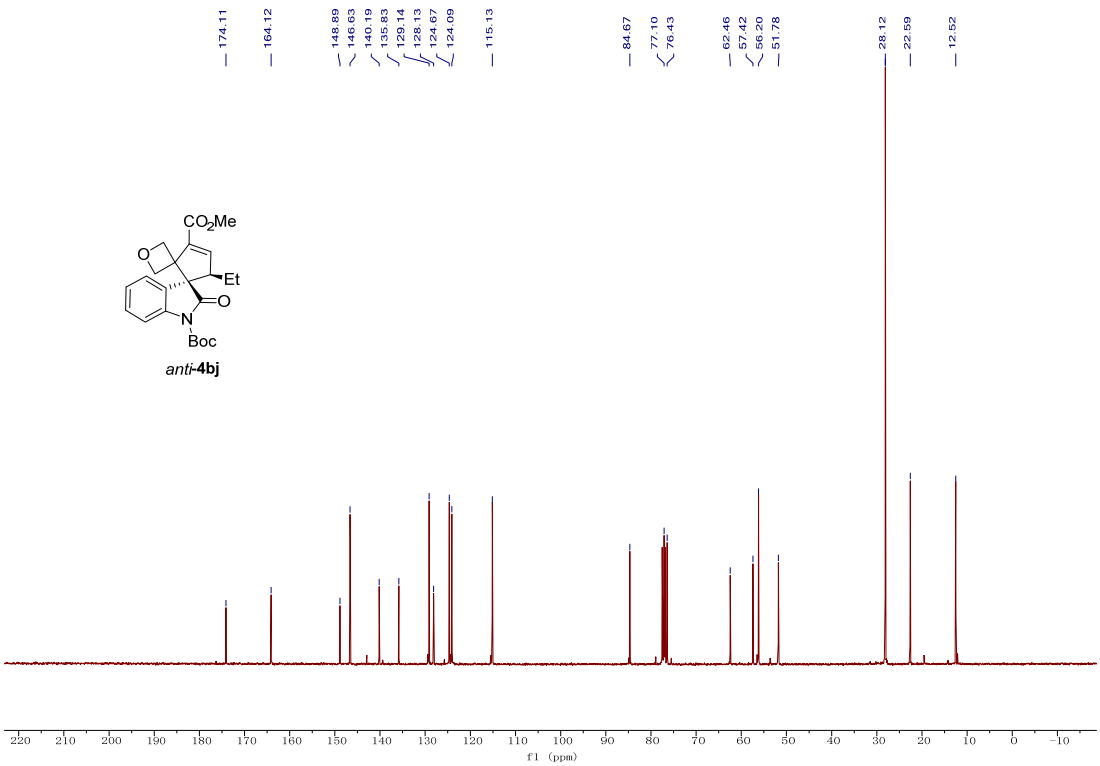
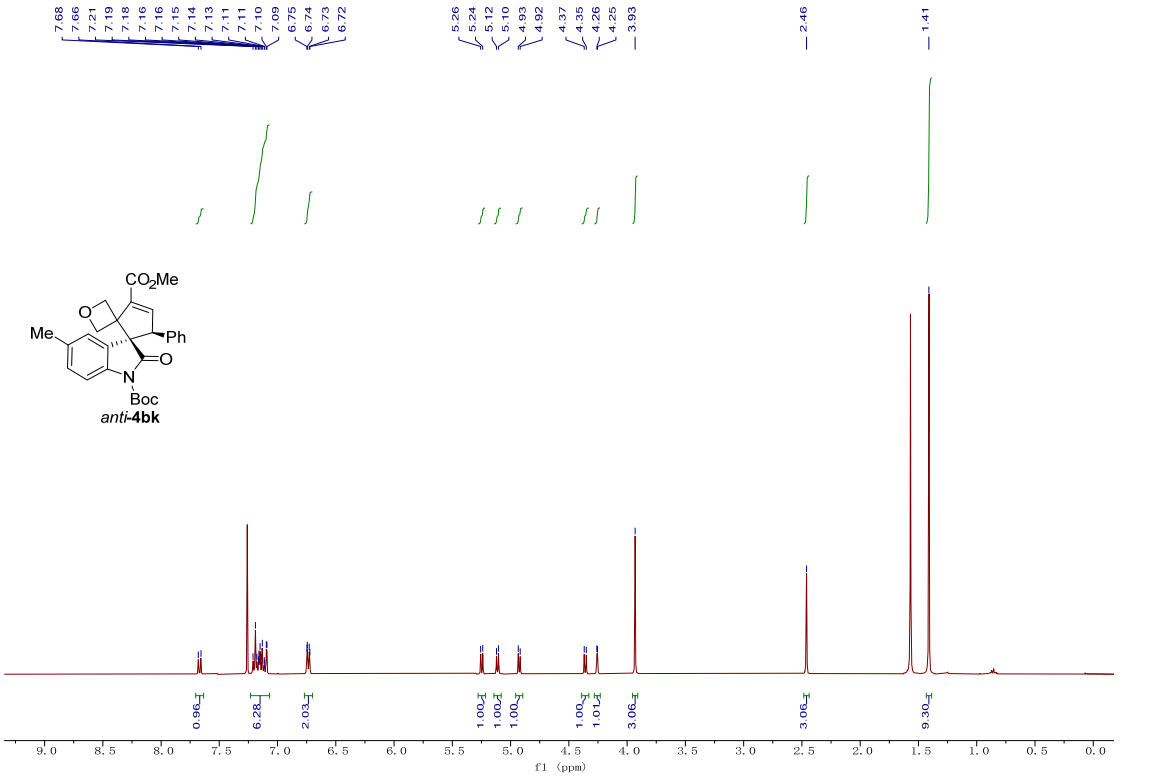
CO2Me
O
Et
O
N
Boc
anti-4bj
1.04 1.08 2.08 1.03 1.01 1.05 1.01 1.07 3.12 0.99 9.10 1.17 1.10 3.27
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5
f1 (ppm)


174.11 164.12 148.89 146.63 140.19 135.83 129.14 128.13 124.67 124.09 115.13 84.67 77.10 76.43 62.46 57.42 56.20 51.78 28.12 22.59 12.52
CO2Me
O
Et
O
N
Boc
anti-4bj
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.11
7.11
7.10
7.09
6.75
6.74
6.73
6.72
5.26
5.24
5.12
5.10
4.93
4.92
4.37
4.35
4.26
4.25
3.93
2.46
1.41
CO2Me
O
Me
Ph
O
N
Boc
anti-4bk
0.96
6.28
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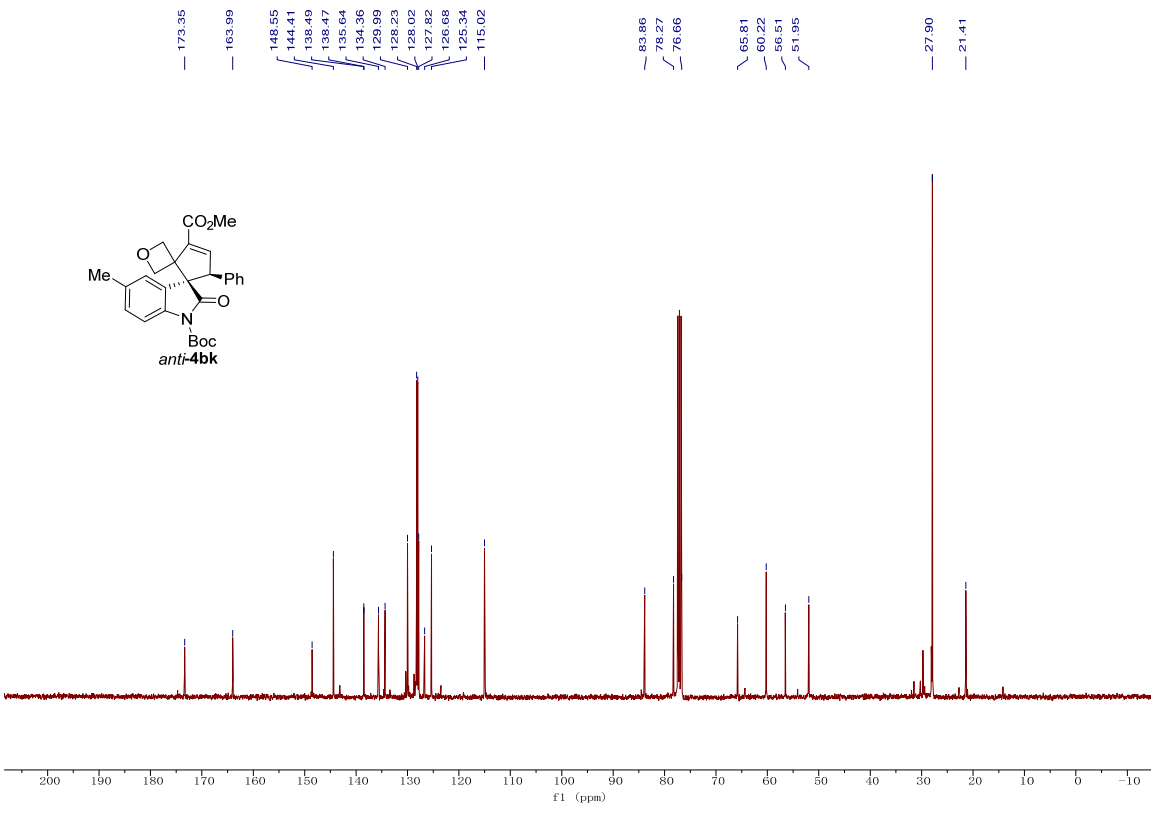
1.00
1.00
1.00
1.00
1.01
3.06
3.06
9.30
f1 (ppm)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134.36
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128.23
128.02
127.82
126.68
125.34
115.02
83.86
78.27
76.66
65.81
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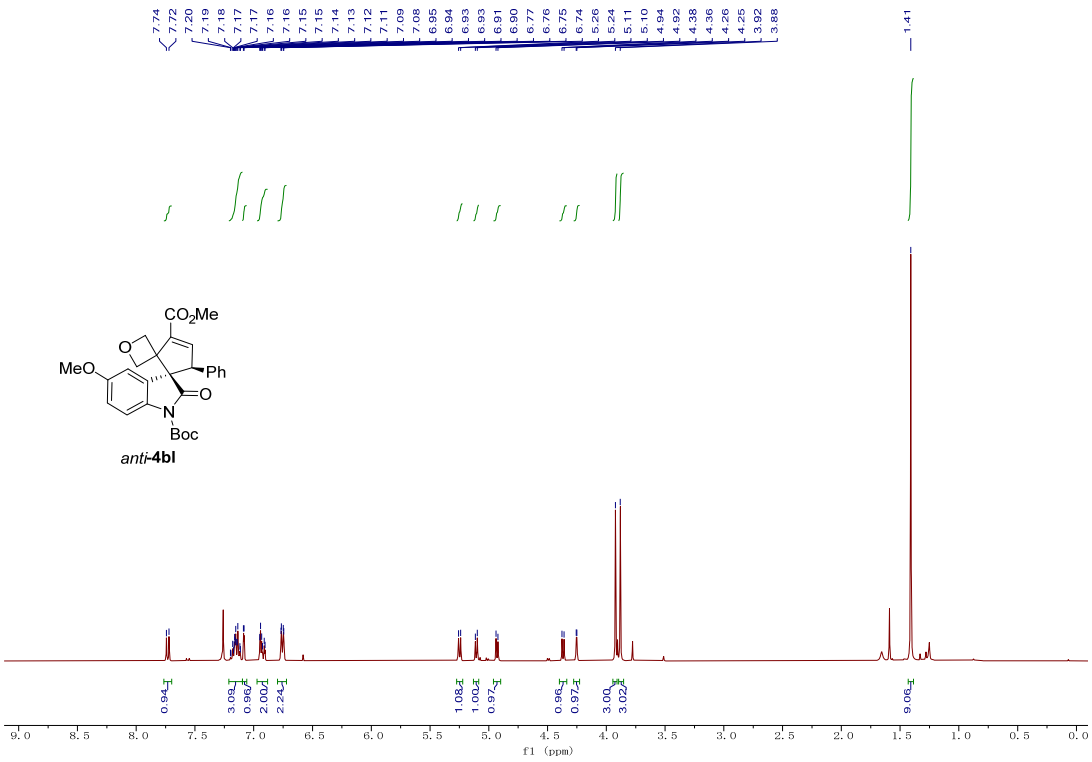
27.90
21.41
CO2Me
O
Me
Ph
O
N
Boc
anti-4bk
f1 (ppm)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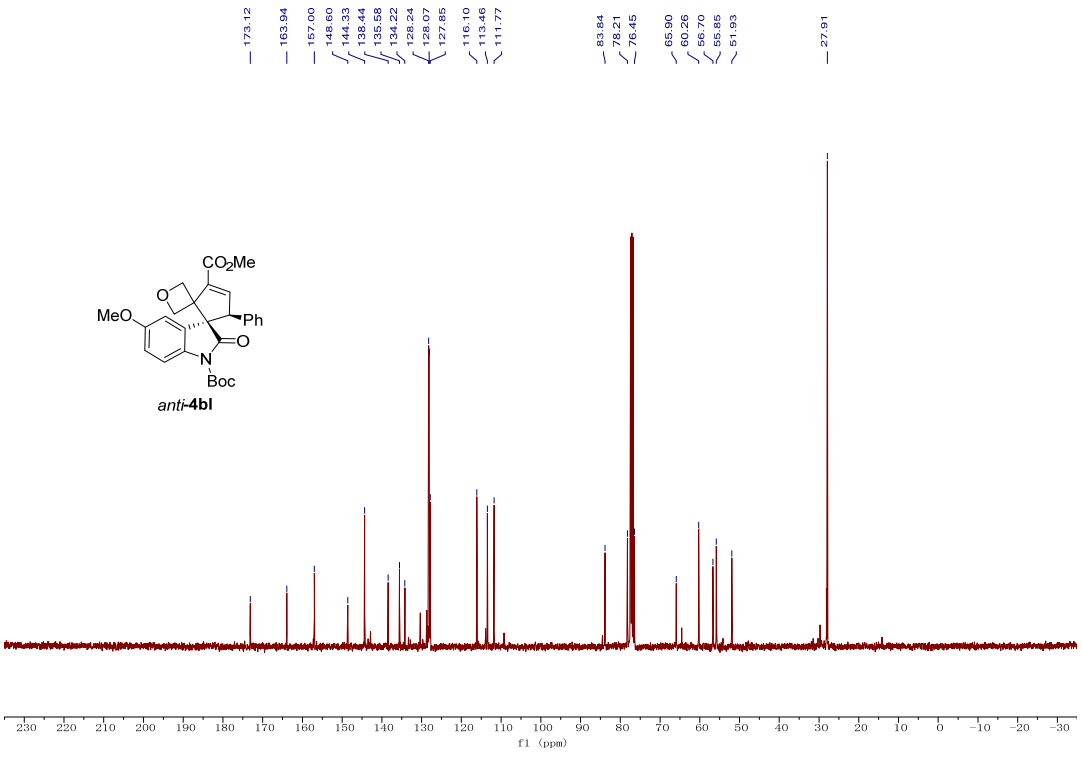
7.15
7.15
7.14
7.13
7.12
7.11
7.09
7.08
6.95
6.94
6.93
6.93
6.91
6.90
6.77
6.76
6.75
6.74
5.26
5.24
5.11
5.10
4.94
4.92
4.38
4.36
4.26
4.25
3.92
3.88
1.41
CO2Me
O
MeO
Ph
O
N
Boc
anti-4bl
0.94
3.09
0.96
2.00
2.24
1.08
1.00
0.97
0.96
0.97
3.00
3.02
9.06
f1 (ppm)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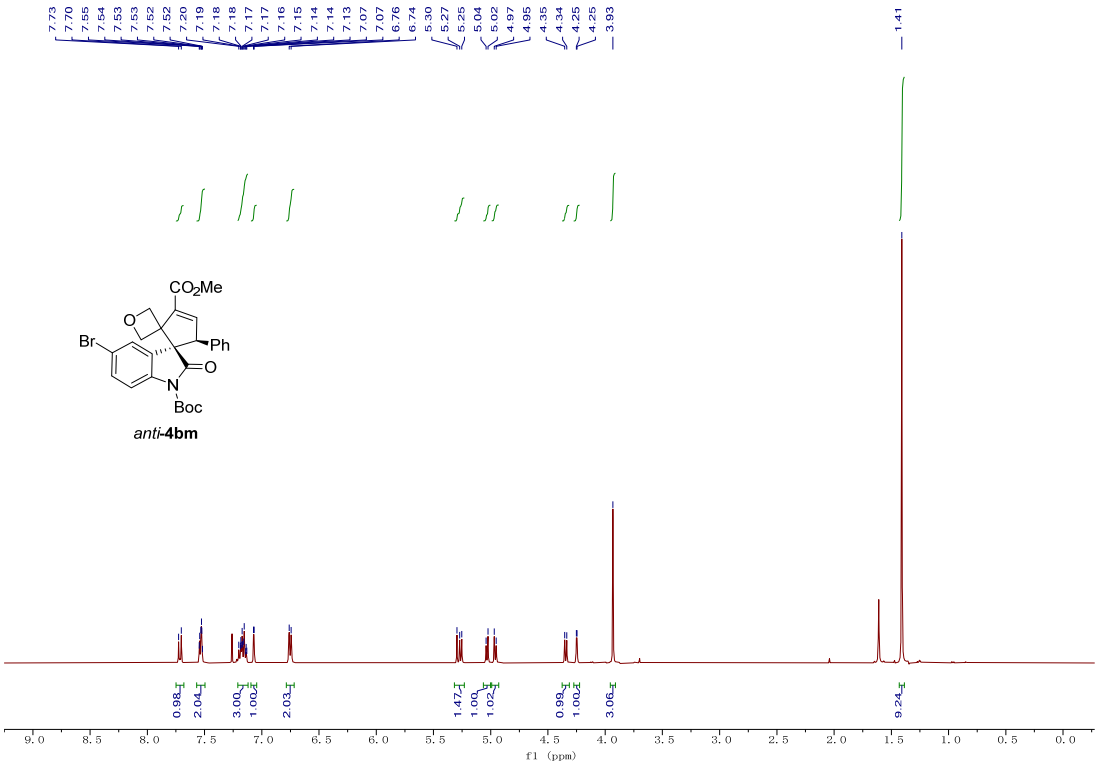
138.44
135.58
134.22
128.24
128.07
127.85
116.10
113.46
111.77
83.84
78.21
76.45
65.90
60.26
56.70
55.85
51.93
27.91
CO2Me
O
MeO
Ph
O
N
Boc
anti-4bl
f1 (ppm)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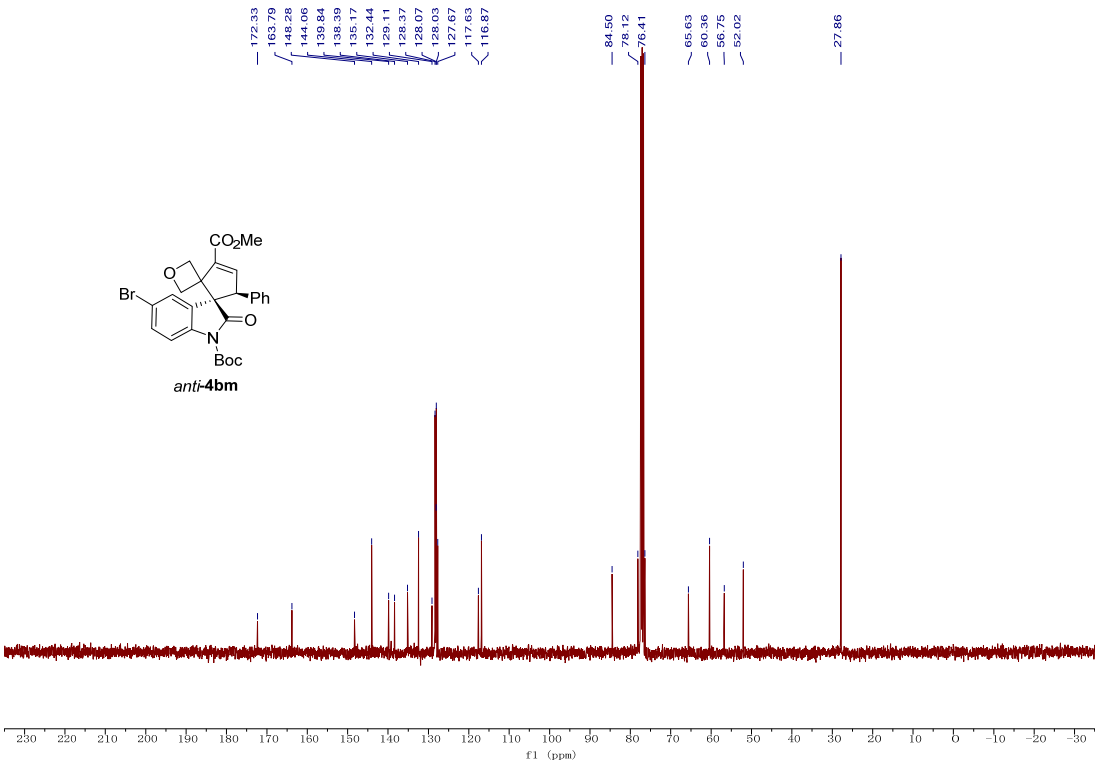
7.17
7.16
7.15
7.14
7.14
7.13
7.07
7.07
6.76
6.74
5.30
5.27
5.25
5.04
5.02
4.97
4.95
4.35
4.34
4.25
4.25
3.93
1.41
CO2Me
O
Br
Ph
O
N
Boc
anti-4bm
0.98
2.04
3.00
1.00
2.03
1.47
1.00
1.02
0.99
1.00
3.06
9.24
f1 (ppm)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128.07
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127.67
117.63
116.87
84.50
78.12
76.41
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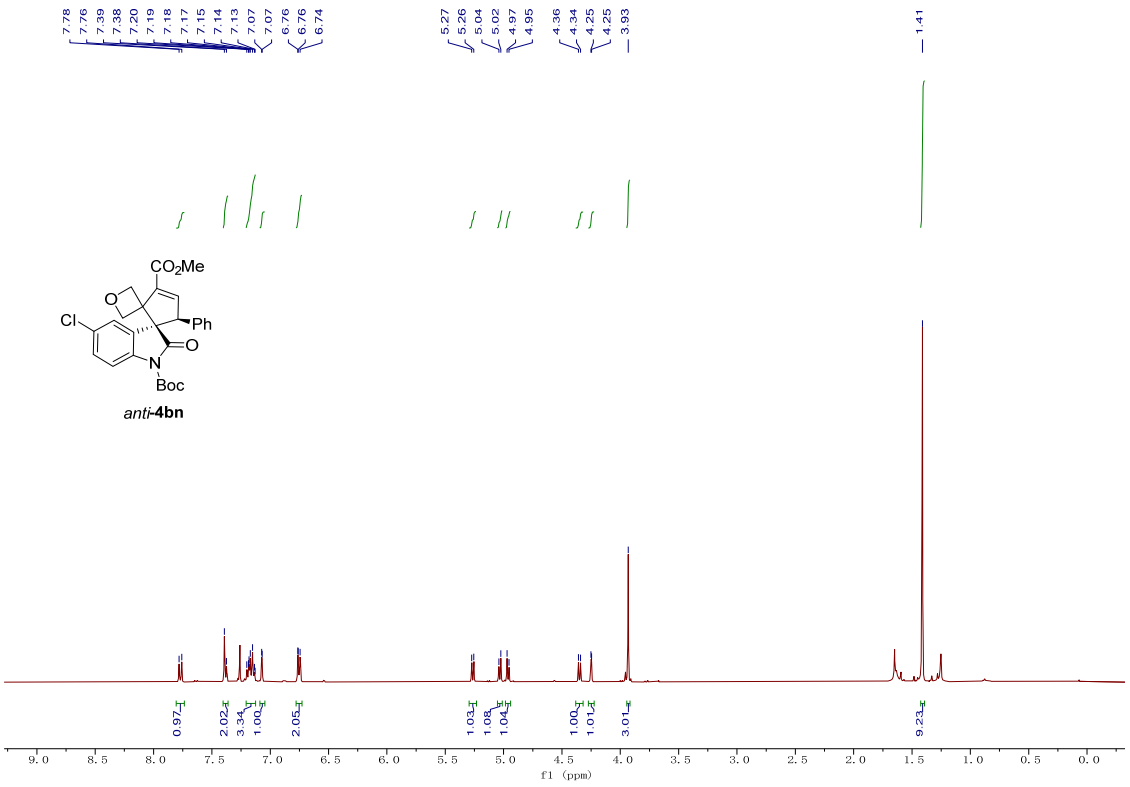
60.36
56.75
52.02
27.86
CO2Me
O
Br
Ph
O
N
Boc
anti-4bm
f1 (ppm)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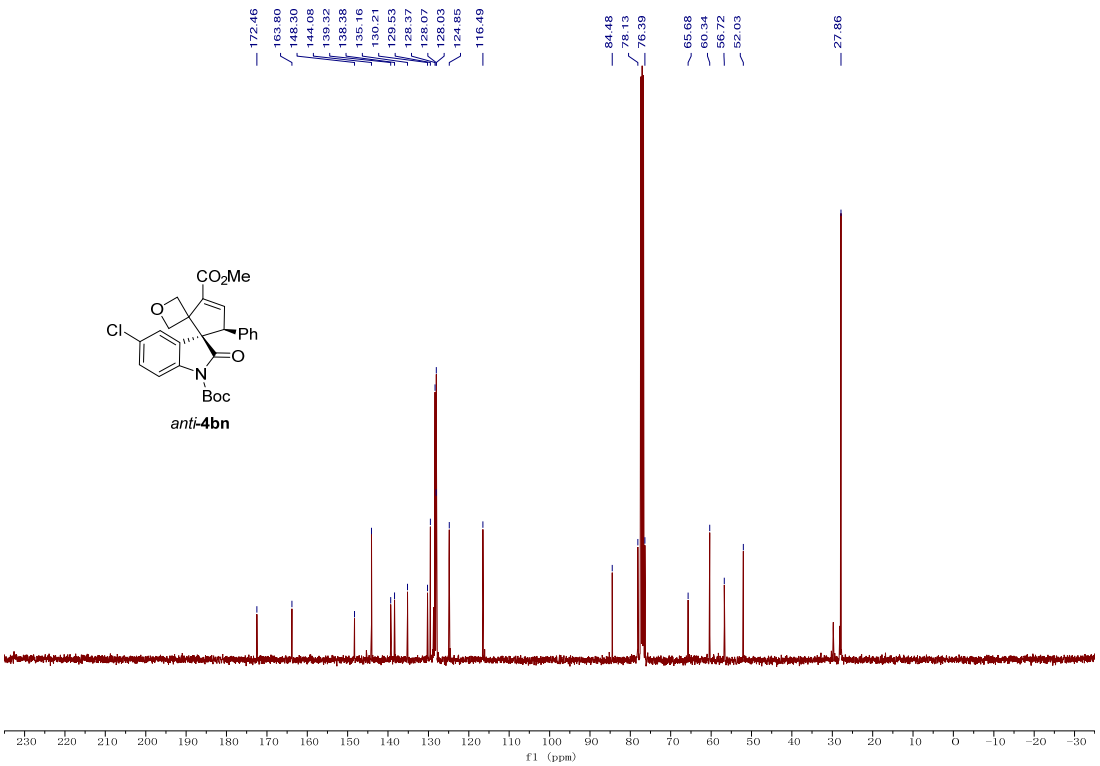
7.13
7.07
7.07
6.76
6.76
6.74
5.27
5.26
5.04
5.02
4.97
4.95
4.36
4.34
4.25
4.25
3.93
1.41
CO2Me
O
Cl
Ph
O
N
Boc
anti-4bn
0.97
2.02
3.34
1.00
2.05
1.03
1.08
1.04
1.00
1.01
3.01
9.23
f1 (ppm)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128.07
128.03
124.85
116.49
84.48
78.13
76.39
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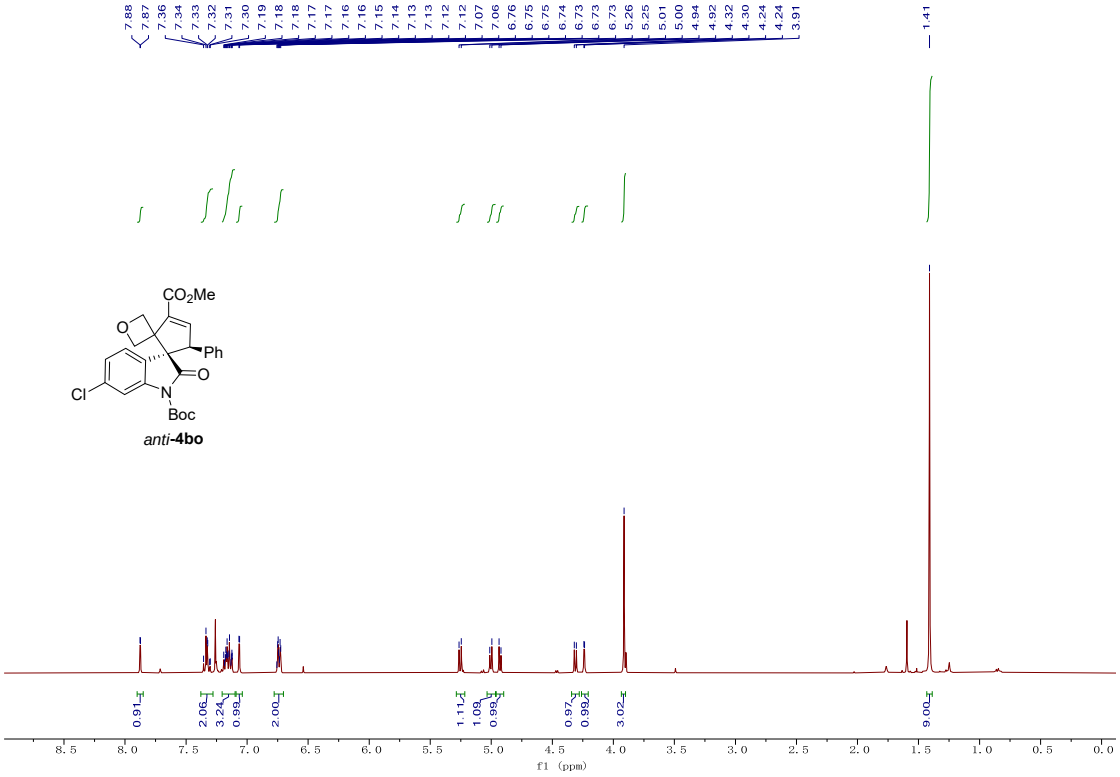
52.03
27.86
CO2Me
O
Cl
Ph
O
N
Boc
anti-4bn
f1 (ppm)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7.14
7.13
7.13
7.12
7.12
7.07
7.06
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6.75
6.75
6.74
6.73
6.73
6.73
5.26
5.25
5.01
5.00
4.94
4.92
4.32
4.30
4.24
4.24
3.91
1.41
CO2Me
O
Ph
O
Cl
N
Boc
anti-4bo
0.91
2.06
3.24
0.99
2.00
1.11
1.09
0.99
0.97
0.99
3.02
9.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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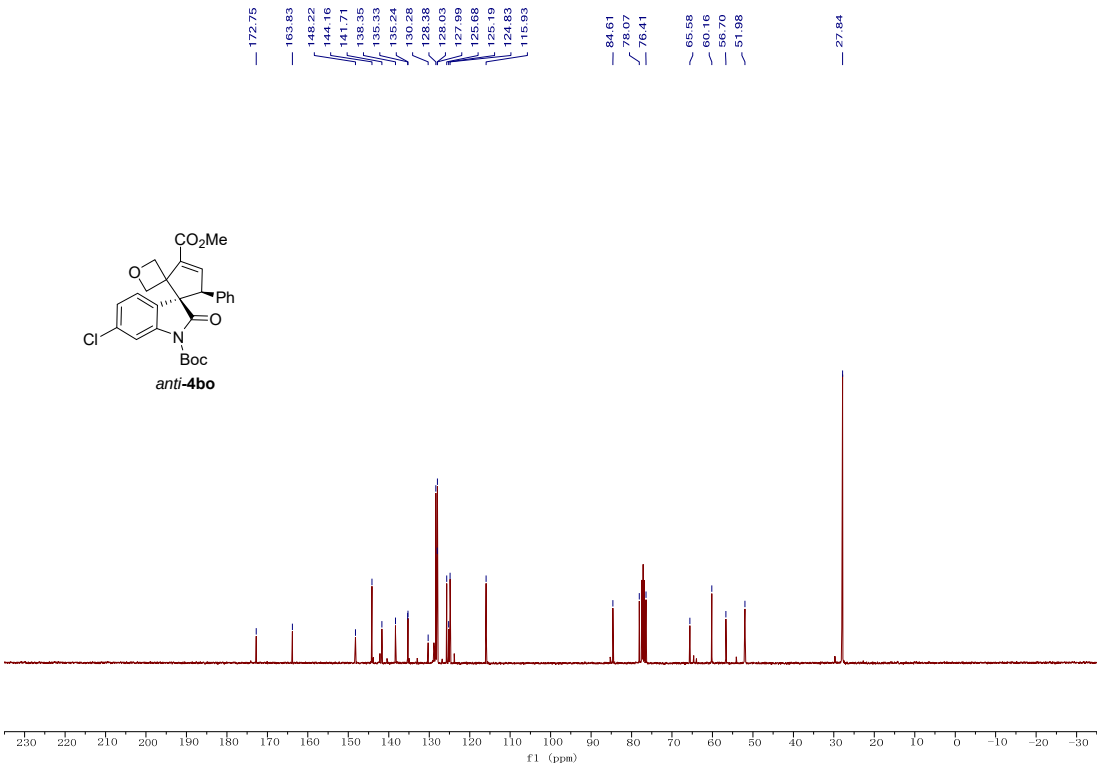
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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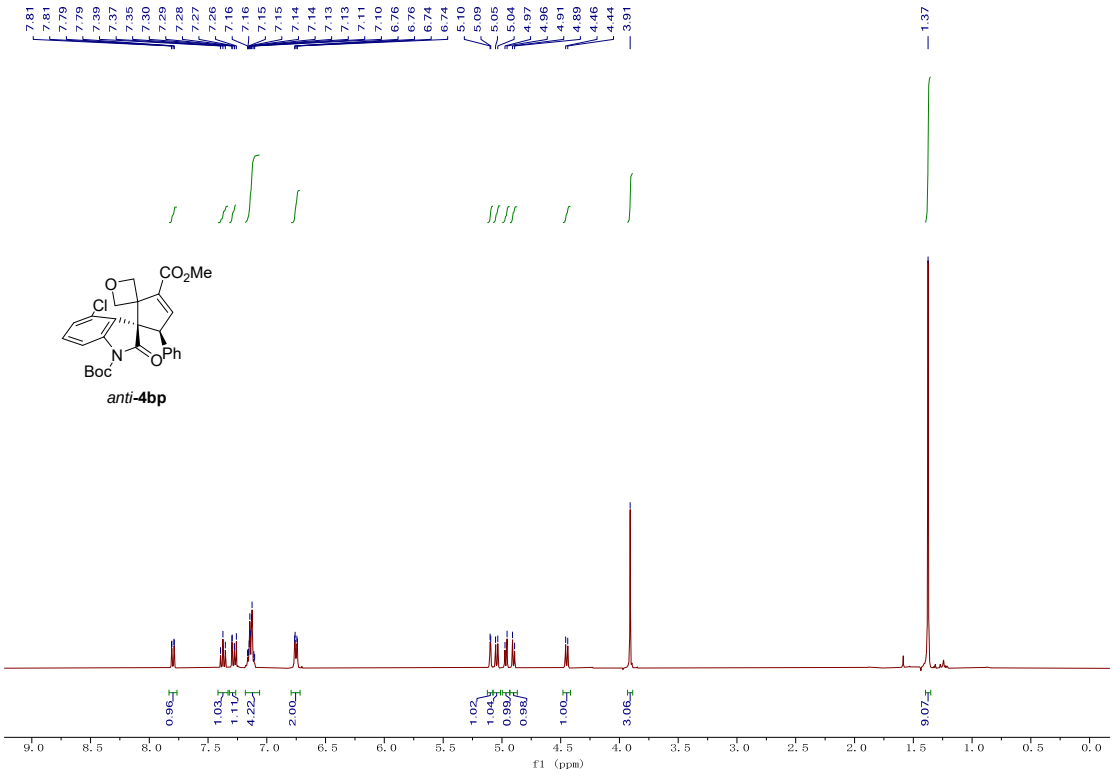
125.68
125.19
124.83
115.93
84.61
78.07
76.41
65.58
60.16
56.70
51.98
27.84
CO2Me
O
Ph
O
Cl
N
Boc
anti-4bo
230
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
-20
-30
f1 (ppm)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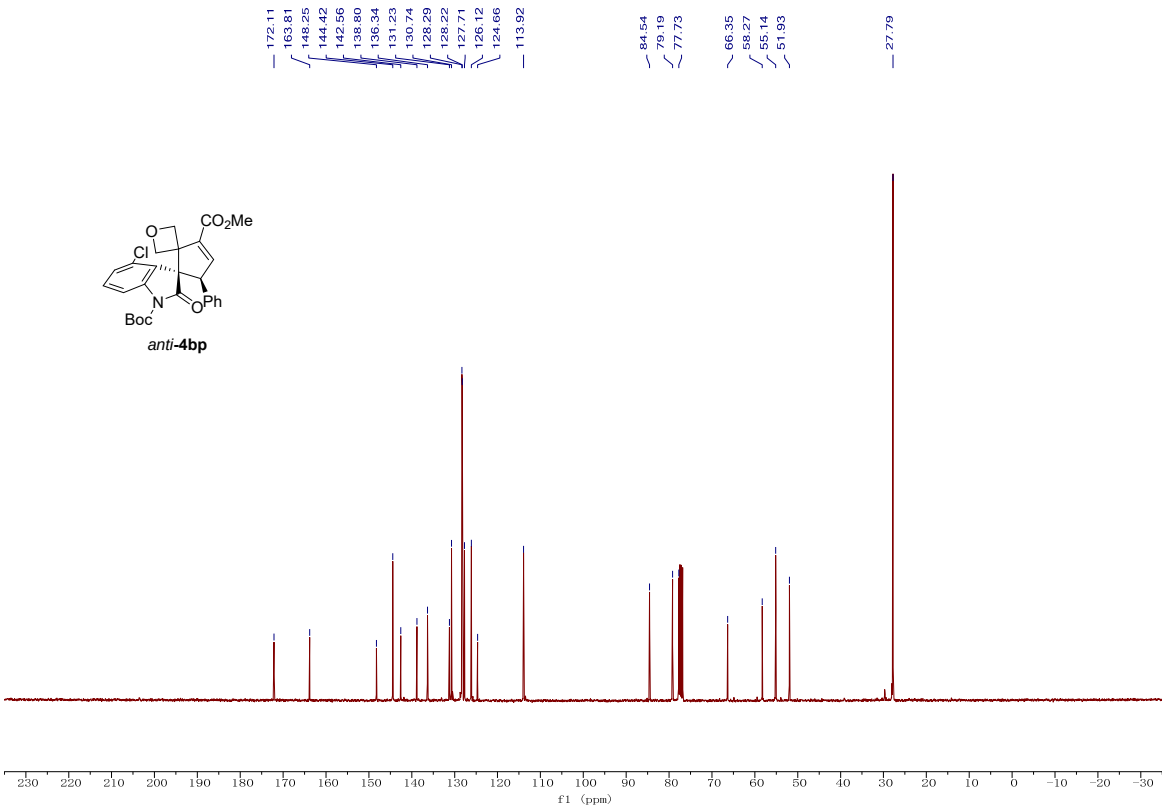
7.15
7.15
7.14
7.14
7.13
7.13
7.11
7.10
6.76
6.76
6.74
6.74
5.10
5.09
5.05
5.04
4.97
4.96
4.91
4.89
4.46
4.44
3.91
1.37
CO2Me
O
Cl
N
O
Ph
Boc
anti-4bp
0.96
1.03
1.11
4.22
2.00
1.02
1.04
0.99
0.98
1.00
3.06
9.07
f1 (ppm)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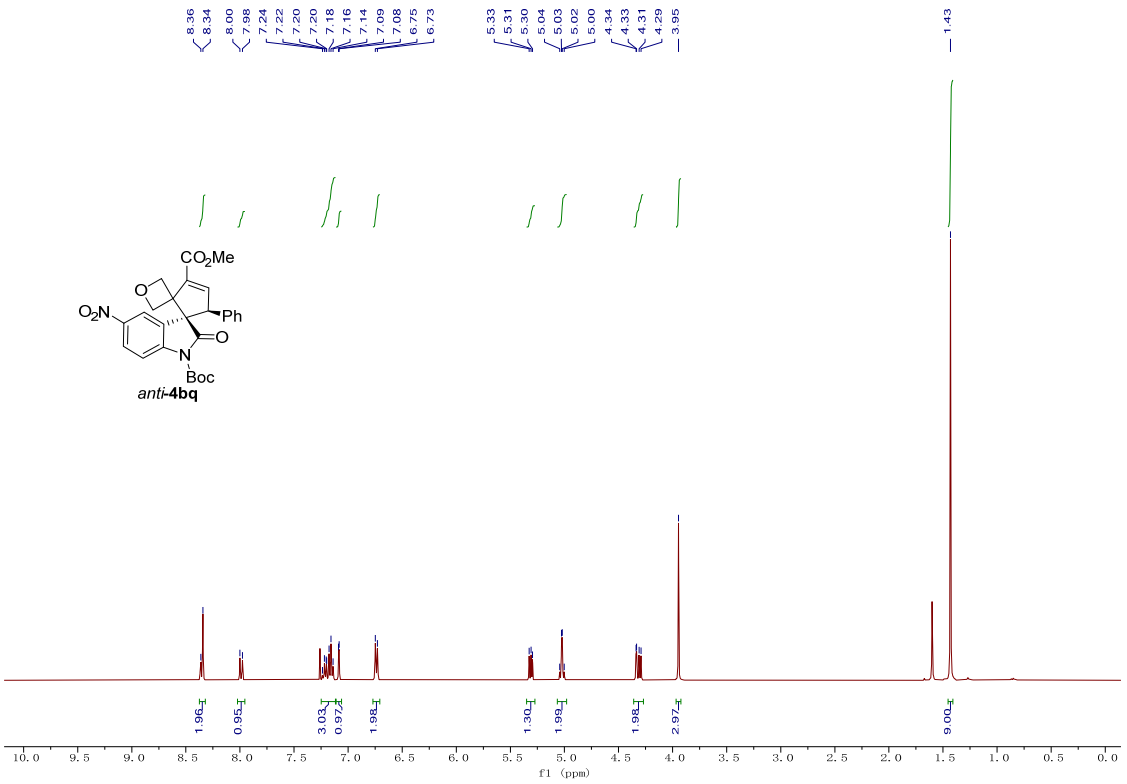
131.23
130.74
128.29
128.22
127.71
126.12
124.66
113.92
84.54
79.19
77.73
66.35
58.27
55.14
51.93
27.79
CO2Me
O
Cl
N
O
Ph
Boc
anti-4bp
f1 (ppm)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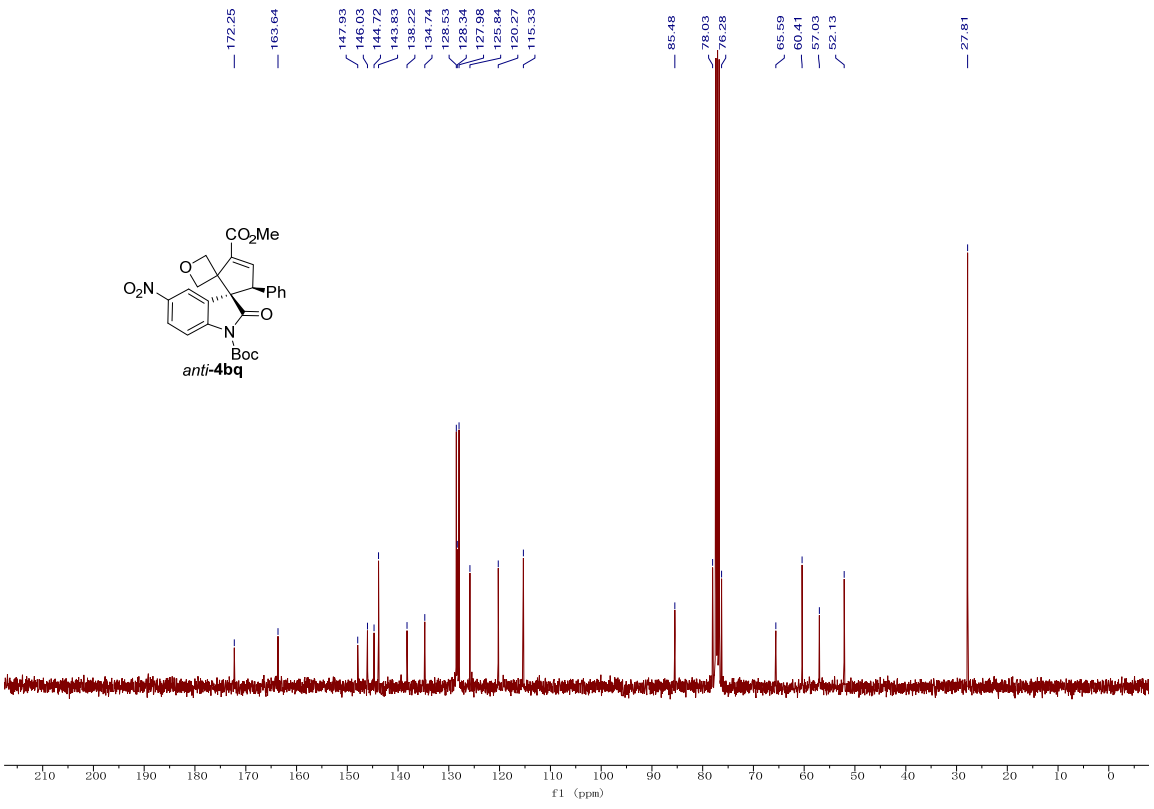
7.09
7.08
6.75
6.73
5.33
5.31
5.30
5.04
5.03
5.02
5.00
4.34
4.33
4.31
4.29
3.95
1.43
CO2Me
O
O2N
Ph
O
N
Boc
anti-4bq
1.96
0.95
3.03
0.97
1.98
1.30
1.99
1.98
2.97
9.00
f1 (ppm)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127.98
125.84
120.27
115.33
85.48
78.03
76.28
65.59
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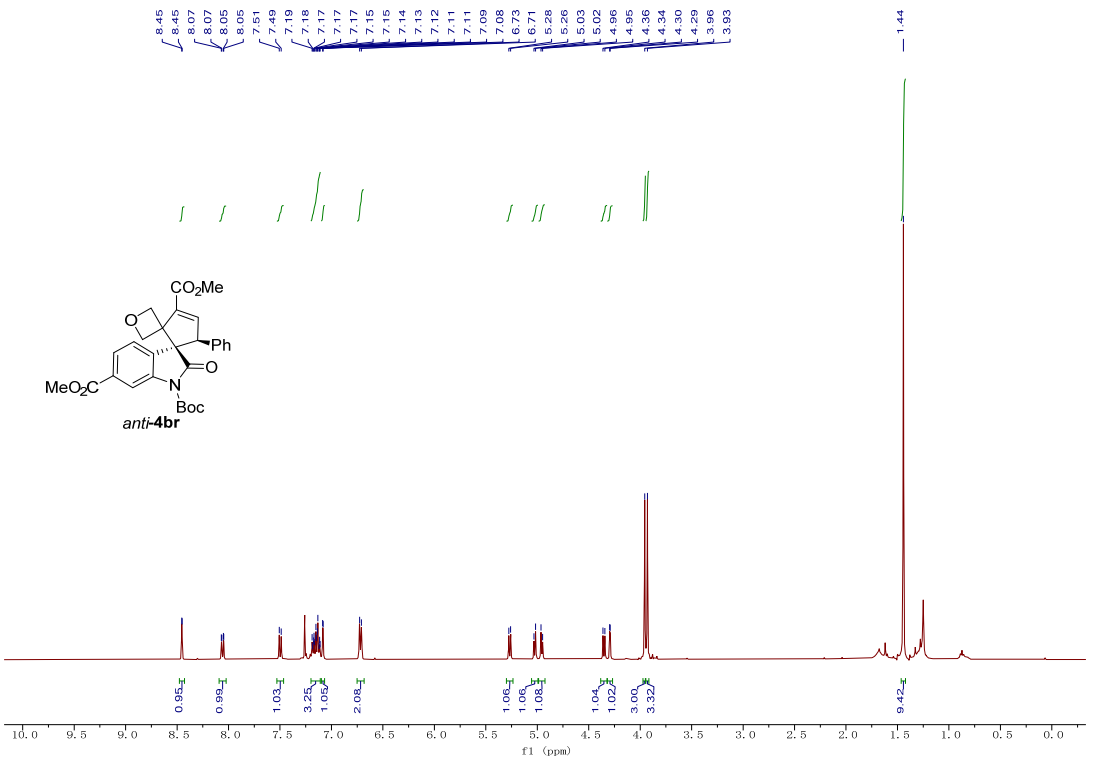
57.03
52.13
27.81
CO2Me
O
O2N
Ph
O
N
Boc
anti-4bq
f1 (ppm)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7.15
7.15
7.14
7.13
7.12
7.11
7.11
7.09
7.08
6.73
6.71
5.28
5.26
5.03
5.02
4.96
4.95
4.36
4.34
4.30
4.29
3.96
3.93
1.44
CO2Me
O
Ph
O
MeO2C
N
Boc
anti-4br
0.95
0.99
1.03
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1.05
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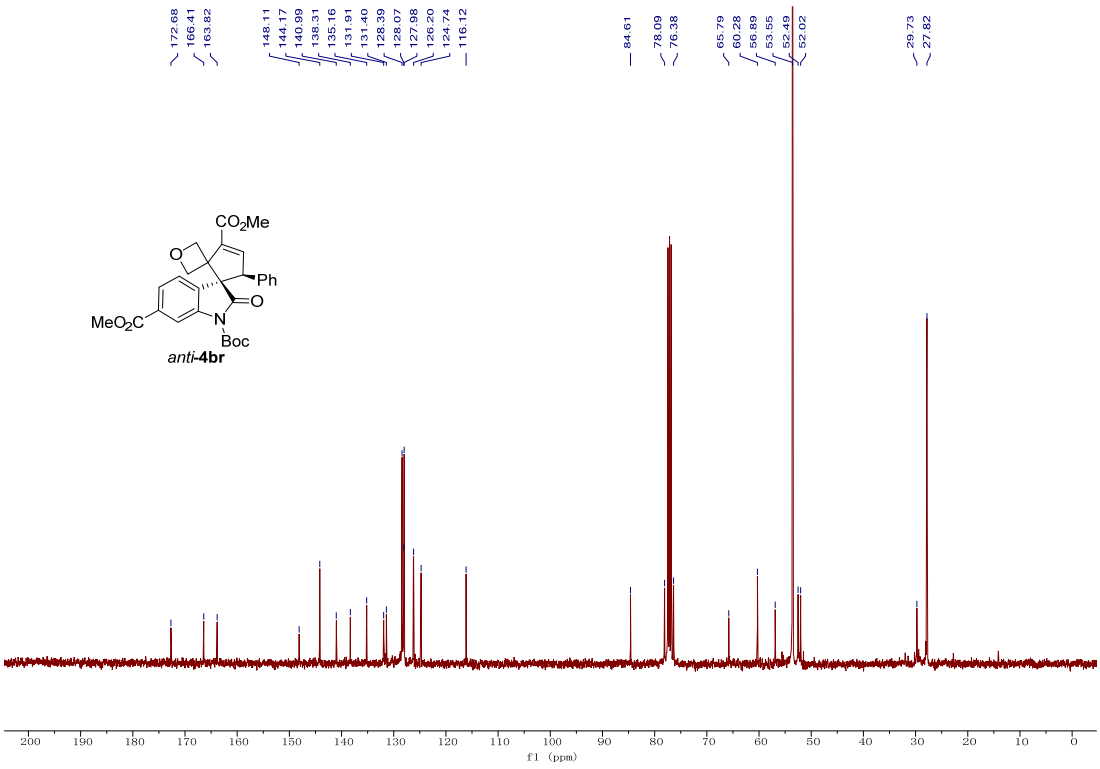
1.06
1.06
1.08
1.04
1.02
3.00
3.32
9.42
f1 (ppm)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138.31
135.16
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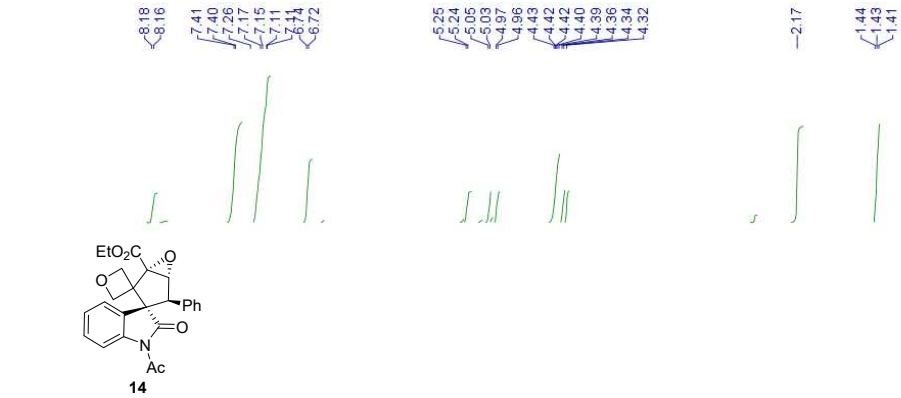
131.40
128.39
128.07
127.98
126.20
124.74
116.12
84.61
78.09
76.38
65.79
60.28
56.89
53.55
52.49
52.02
29.73
27.82
CO2Me
O
Ph
O
MeO2C
N
Boc
anti-4br
f1 (ppm)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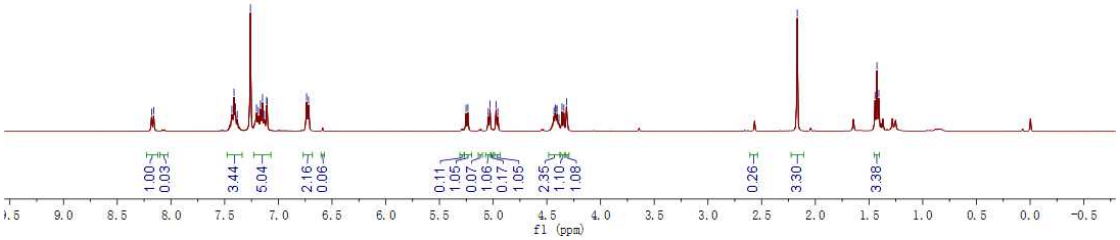
6.72
5.25
5.24
5.05
5.03
4.97
4.96
4.43
4.42
4.42
4.40
4.39
4.36
4.34
4.32
2.17
1.44
1.43
1.41
EtO2C
O
O
Ph
O
N
Ac

14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2.16
0.06
0.11
1.05
0.07
1.06
0.17
1.05
2.35
1.10
1.08
0.26
3.30
3.38
f1 (ppm)


175.94
170.17
163.39
143.72
140.82
138.73
135.48
129.55
128.30
128.10
127.80
127.27
125.53
77.88
77.32
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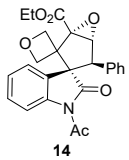
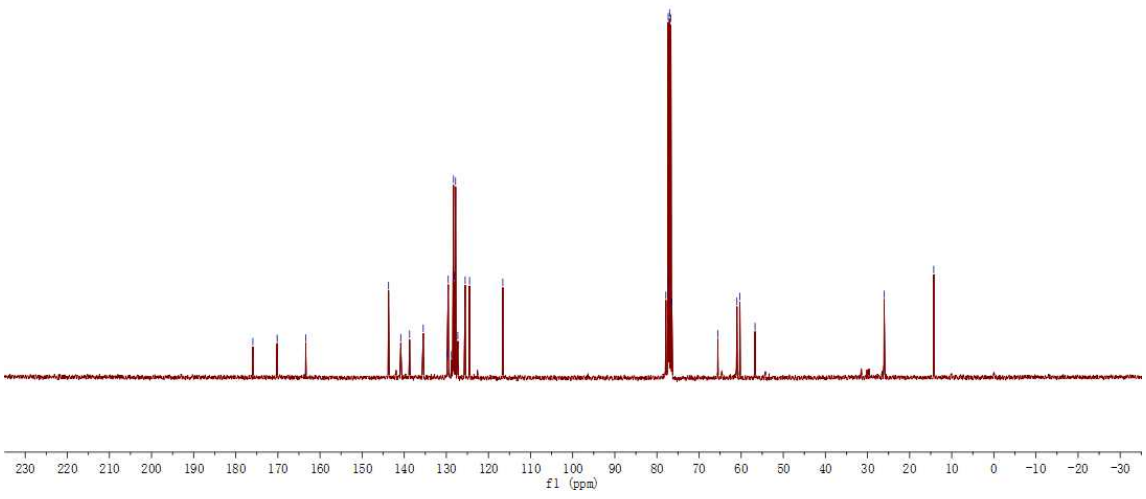
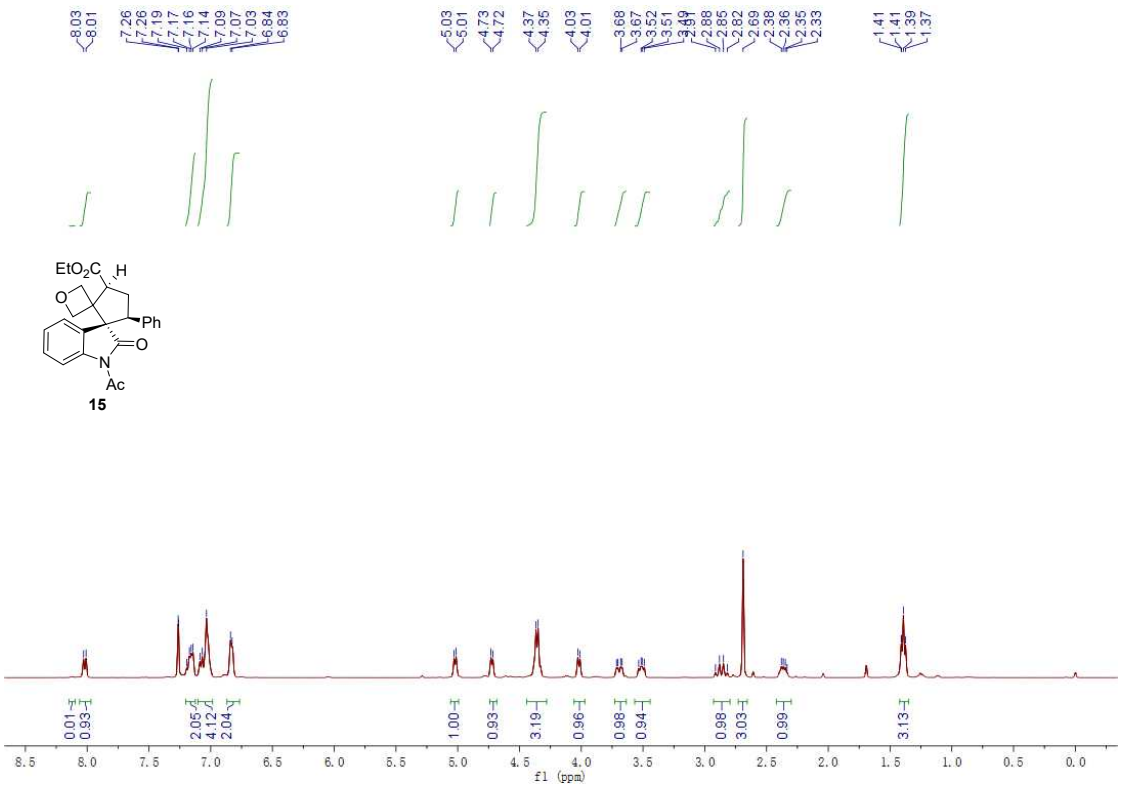
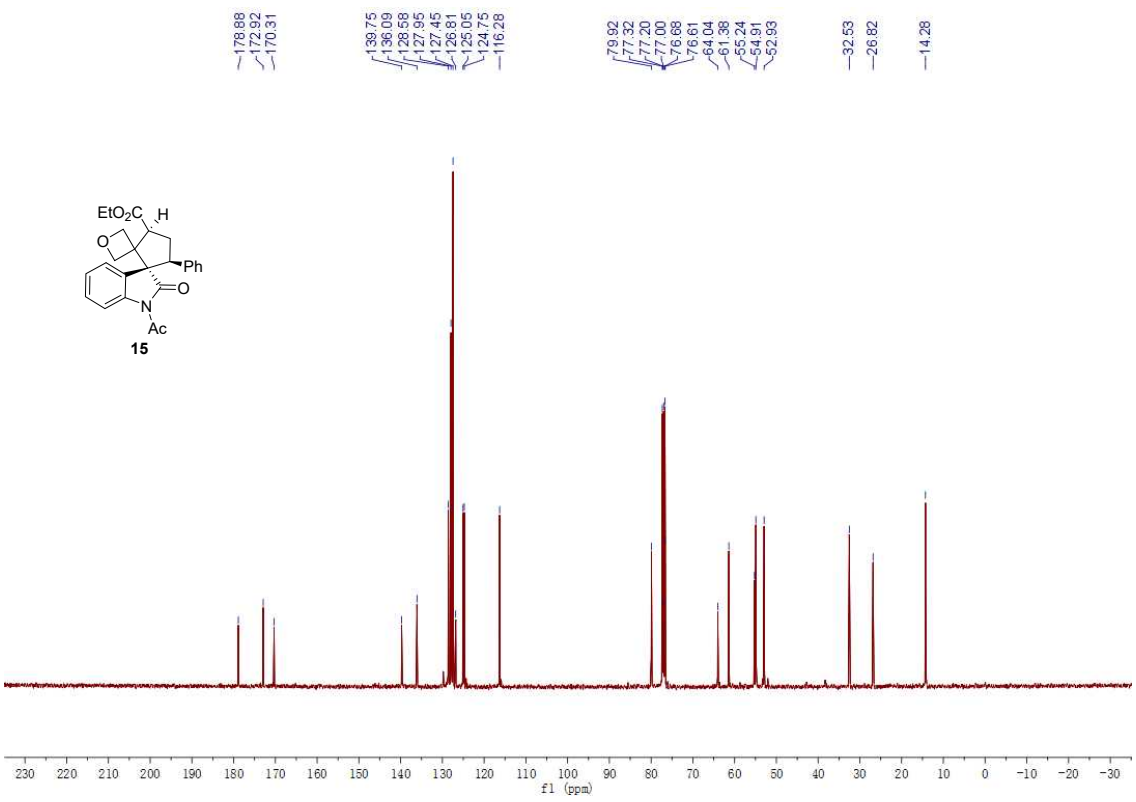
77.00
76.68
76.45
65.55
61.01
60.30
56.70
26.06
14.27


EtO2C
O
O
Ph
O
N
Ac

14


f1 (ppm)


8.03
8.01
7.26
7.26
7.19
7.17
7.16
7.14
7.09
7.07
7.03
6.84
6.83
5.03
5.01
4.73
4.72
4.37
4.35
4.03
4.01
3.68
3.67
3.52
3.51
3.49
3.41
2.88
2.85
2.82
2.69
2.38
2.36
2.35
2.33
1.41
1.41
1.39
1.37
EtO2C
H
O
Ph
O
N
Ac
15
0.01
0.93
2.05
4.12
2.04
1.00
0.93
3.19
0.96
0.98
0.94
0.98
3.03
0.99
3.13
f1 (ppm)


178.88
172.92
170.31
139.75
136.09
128.58
127.95
127.45
126.81
125.05
124.75
116.28
79.92
77.32
77.20
77.00
76.68
76.61
64.04
61.38
55.24
54.91
52.93
32.53
26.82
14.28
EtO2C
H
O
Ph
O
N
Ac
15
f1 (ppm)