Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Application of Isatin-derived Saturated Esters in the Synthesis of 3,3'-Spirooxindole γ-Butyrolactams

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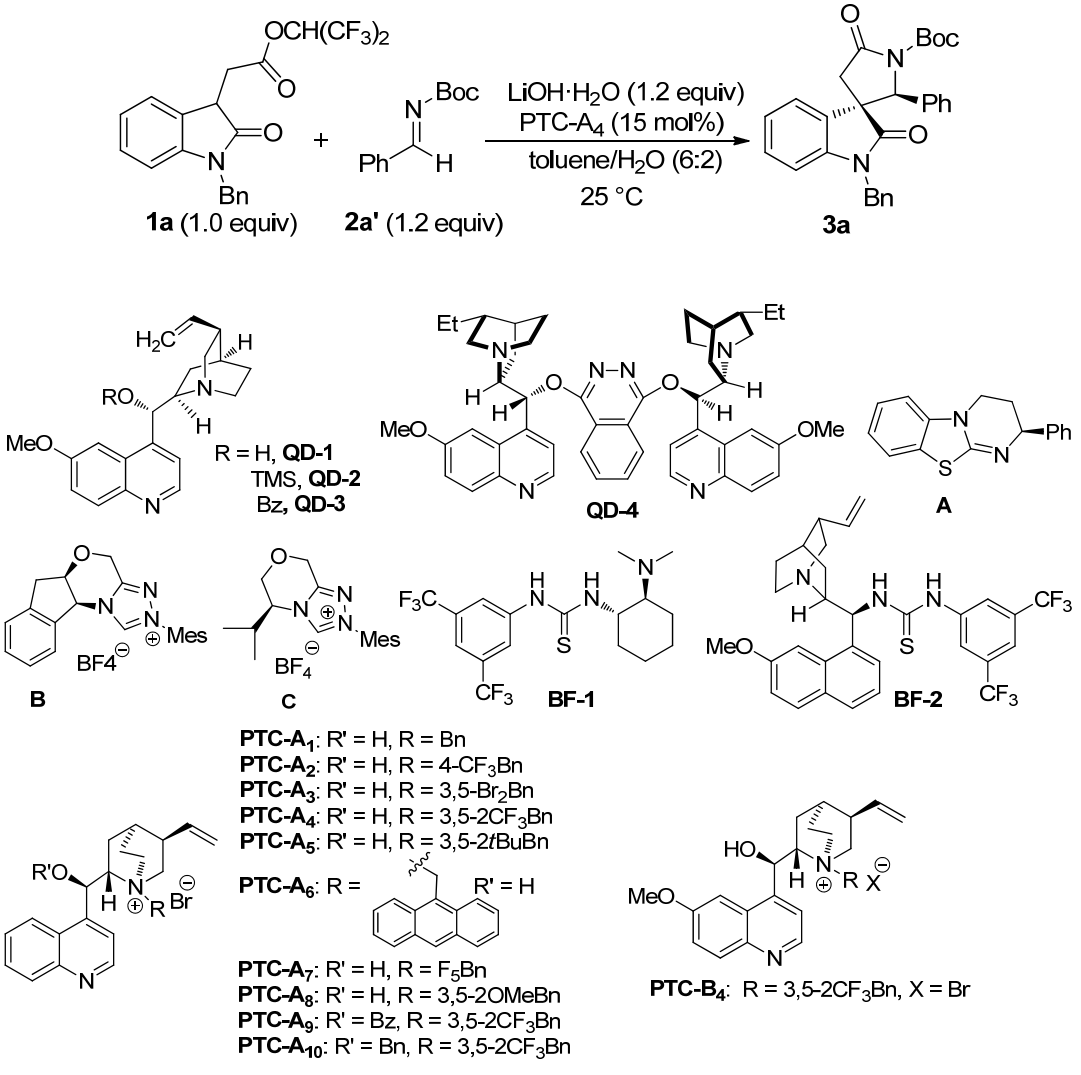
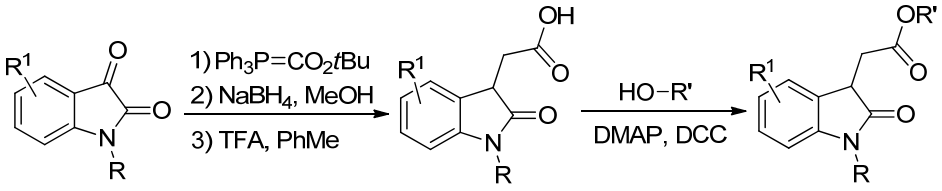
General Methods and Materials. All reactions were carried out in dry glassware, and were monitored by analytical thin-layer chromatography (TLC), which was visualized by ultraviolet light (254 nm). All solvents were obtained from commercial sources and were purified according to standard procedures. Purification of the products was accomplished by flash chromatography using silica gel (200-300 mesh). Substrates **2**[1] and **5**[2] were prepared according to known procedures. All NMR spectra were recorded on Bruker spectrometers, running at 300 MHz or 400 MHz for ^{1}H and 75 MHz or 100 MHz for ^{13}C respectively. Chemical shifts (δ) and coupling constants (J) are reported in ppm and Hz respectively. The solvent signals were used as references (residual $CHCl_3$ in $CDCl_3$: δ_H = 7.26 ppm, δ_c = 77.0 ppm). The following abbreviations are used to indicate the multiplicity in NMR spectra: s (singlet); d (doublet); t (triplet); q (quartet); m (multiplet). High resolution mass spectrometry (HRMS) was recorded on TOF perimer for ESI^{+}.

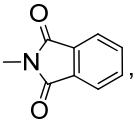
Attempts on asymmetric synthesis of 3a using chiral organocatalysts



entry	**1**	base	catalyst	solvent	temp.(°C)	yield (%)	dr	ee (%)
1	**a**	none	**Q1-4**	THF	rt or 60	0	-	-
2	**a**	none	**A**	THF	rt or 60	0	-	-
3	**a**	DIPEA	**B/C**	THF	rt or 60	0	-	-
4	**a**	none	**BF-1**	THF	rt or 60	0	-	-
5	**a**	none	**BF-2**	THF	rt or 60	0	-	-
6	**a**	K_2CO_3	**PTC-A$_1$**	THF	rt or 60	0	-	-
7	**a**	K_2CO_3	**PTC-A$_1$**	PhMe	rt or 60	0	-	-
8	**a**	K_2CO_3	**PTC-A$_1$**	PhMe/H_2O (3:1)	rt	Dec.	-	-
9	**b**	K_2CO_3	**PTC-A$_1$**	PhMe/H_2O(3:1)	rt	trace	-	-
10	**b**	K_3PO_4	**PTC-A$_1$**	PhMe/H_2O (3:1)	rt	38	>95:5	33
11	**b**	K_3PO_4	**PTC-A$_2$**	PhMe/H_2O (3:1)	rt	40	>95:5	48
12	**b**	K_3PO_4	**PTC-A$_3$**	PhMe/H_2O (3:1)	rt	33	>95:5	53
13	**b**	K_3PO_4	**PTC-A$_4$**	PhMe/H_2O (3:1)	rt	60	>95:5	68
14	**b**	K_3PO_4	**PTC-A$_5$**	PhMe/H_2O (3:1)	rt	45	>95:5	23
15	**b**	K_3PO_4	**PTC-A$_6$**	PhMe/H_2O (3:1)	rt	0	-	-
16	**b**	K_3PO_4	**PTC-A$_4$**	EtOAc/H_2O (3:1)	rt	trace	-	-
17	**b**	K_3PO_4	**PTC-A$_4$**	DCM/H_2O (3:1)	rt	trace	-	-
18	**b**	K_3PO_4	**PTC-A$_4$**	Et_2O/H_2O (3:1)	rt	84	>95:5	74
19	**b**	K_3PO_4	**PTC-A$_4$**	Et_2O/H_2O (3:1)	0	48	>95:5	47
20	**b**	K_3PO_4	**PTC-A$_4$**	MTBE/H_2O (3:1)	rt	47	>95:5	68
21	**b**	K_3PO_4	**PTC-A$_4$**	*i-Pr*$_2$O/H_2O (3:1)	rt	33	>95:5	51
22	**b**	K_3PO_4	**PTC-A$_7$**	Et_2O/H_2O (3:1)	rt	77	>95:5	13
23	**b**	K_3PO_4	**PTC-A$_8$**	Et_2O/H_2O (3:1)	rt	77	>95:5	26
24	**b**	K_3PO_4	**PTC-A$_9$/A$_{10}$**	Et_2O/H_2O (3:1)	rt	0	-	-
25	**b**	K_3PO_4	**PTC-B$_4$**	Et_2O/H_2O (3:1)	rt	83	75:25	69
26	**b**	LiOH	**PTC-A$_4$**	Et_2O/H_2O (3:1)	rt	59	>95:5	60
27	***b***	*LiOH*	***PTC-A$_4$***	*PhMe/H_2O (3:1)*	*rt*	*93*	*>95:5*	*80*
28	**b**	NaOH	**PTC-A$_4$**	PhMe/H_2O (3:1)	rt	68	>95:5	74
29	**b**	KOH	**PTC-A$_4$**	PhMe/H_2O (3:1)	rt	54	>95:5	77

General procedure for the synthesis of 1b and 1d-f.



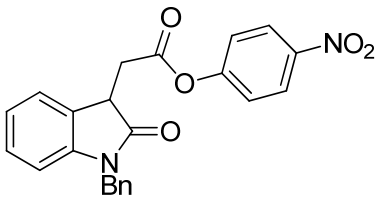
R^1 = H, R = Bn, R' = 4-$NO_2C_6H_4$, **1a**
R^1 = H, R = Bn, R' = $CH(CF_3)_2$, **1b**
R^1 = H, R = Bn, R' =  , **1c**
R^1 = 5-Cl, R = Bn, R' = $CH(CF_3)_2$, **1d**
R^1 = 5-Me, R = Bn, R' = $CH(CF_3)_2$, **1e**
R^1 = H, R = Me, R' = $CH(CF_3)_2$, **1f**

(*tert*-Butoxycarbonylmethylene)triphenylphosphorane (4.9 g, 13.2 mmol) was added to a stirred suspension of *N*-substituted isatin (13.9 mmol) in toluene (80 mL). The mixture was heated to reflux and stirred for 1.5 h before being allowed to cool to room temperature. The reaction was filtered through a pad of celite and concentrated in vacuo. Then the residue was dissolved in methanol (50 mL) and the resulting solution was cooled to 0 °C, followed by the addition of $NaBH_4$ (26 mmol) in batches. The mixture

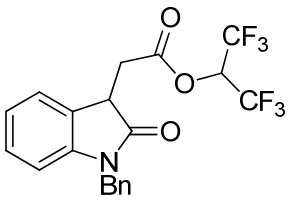
was stirred at 0 ºC until the completion of the reaction as monitored by TLC. Then, the reaction mixture was quenched with 150 mL of water and was further extracted with CH_2Cl_2 (50 mL×3). The combined organic phase was washed with brine (100 mL) and was dried over anhydrous Na_2SO_4. The mixture was then filtered and the resulting filtrate was concentrated under reduced pressure. Then the residue was dissolved in toluene (50 mL) heat to 50 ºC. Trifluoroacetic acid (20 mL) was then added and the reaction was stirred for an additional 2 h. The reaction was basified by the cautious addition of saturated aqueous sodium bicarbonate solution; the organic layer was isolated and discarded. The aqueous layer was acidified with aqueous hydrochloric acid (1 M) and extracted thoroughly with ethyl acetate. Then, the combined organic extracts were concentrated in vacuum, and the residue was purified by flash chromatography (hexane: EtOAc = 4:1, v/v) to give the acid.

R'OH (17.2 mmol), DMAP(1 mmol), DCC (17.2 mmol) were added to a stirred solution of the acid (10.6 mmol) in EtOAc (30 mL). The mixture was stirred at room temperature until the completion of the reaction as monitored by TLC. The mixture was filtered and concentrated under reduced pressure and the residue was purified by flash chromatography (hexane: EtOAc = 15:1, v/v) on silica gel to afford compounds **1a-f**.

4-Nitrophenyl 2-(1-benzyl-2-oxoindolin-3-yl)acetate (1a). Yellowish solid, mp: 140-142ºC. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (d, *J* = 9.1 Hz, 2H), 7.37-7.27 (m, 3H), 7.27-7.19 (m, 4H), 7.13-7.00 (m, 3H), 6.78 (d, *J* = 7.8 Hz, 1H), 4.97 (d, *J* = 15.6 Hz, 1H), 4.91 (d, *J* = 15.6 Hz, 1H), 3.99 (t, *J* = 6.1 Hz, 1H), 3.39-3.25 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 176.2, 168.6, 154.96, 145.4, 143.5, 135.5, 128.7, 128.6, 127.6, 127.3, 127.1, 125.1, 123.7, 122.7, 122.3, 109.3, 43.96, 41.7, 34.9. HRMS (ESI) calcd for $C_{23}H_{18}N_2O_5$ $(M+Na)^+$: 425.1113, found 425.1112.

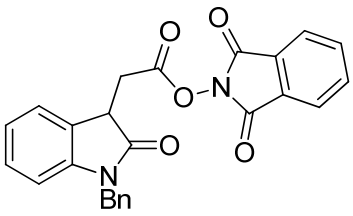


1,1,1,3,3,3-Hexafluoropropan-2-yl 2-(1-benzyl-2-oxoindolin-3-yl)acetate (1b).



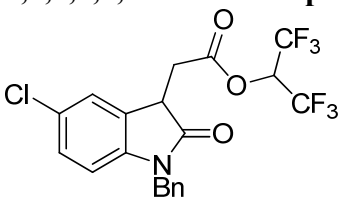
White solid, mp: 120-122 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.40-7.28 (m, 5H), 7.23 (t, *J* = 8.2 Hz, 2H), 7.04 (t, *J* = 7.5 Hz, 1H), 6.78 (d, *J* = 7.8 Hz, 1H), 5.79 (hept, *J* = 6.1 Hz, 1H), 5.02 (d, *J* = 15.7 Hz, 1H), 4.90 (d, *J* = 15.7 Hz, 1H), 3.96 (dd, *J* = 7.8, 4.5 Hz, 1H), 3.39 (dd, *J* = 17.4, 4.5 Hz, 1H), 3.12 (dd, *J* = 17.4, 7.9 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.8, 168.3, 143.5, 135.6, 128.8, 128.7, 127.7, 127.3, 126.9, 123.7, 122.8, 120.2 (d, *J* = 277.4 Hz), 109.4, 66.8 (m), 44.0, 41.4, 34.1. HRMS (ESI) calcd for $C_{20}H_{15}F_6NO_3$ $(M+H)^+$: 432.1034, found 432.1027.

1,3-Dioxoisoindolin-2-yl 2-(1-benzyl-2-oxoindolin-3-yl)acetate (1c). White solid, mp:



160-162°C. ^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.90 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.80 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.45 (d, *J* = 7.4 Hz, 1H), 7.32-7.29 (m, 4H), 7.24-7.19 (m, 2H), 7.08 (d, *J* = 7.3 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 1H), 5.04-4.88 (m, 2H), 4.05 (dd, *J* = 9.7, 3.6 Hz, 1H), 3.58 (dd, *J* = 16.9, 3.7 Hz, 1H), 3.05 (dd, *J* = 16.9, 9.7 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 175.5, 167.9, 161.6, 143.2, 135.5, 134.8, 128.75, 128.73, 128.65, 127.6, 127.3, 126.9, 124.5, 123.98, 122.98, 109.3, 43.96, 41.5, 32.4. HRMS (ESI) calcd for $C_{25}H_{18}N_2O_5$ $(M+H)^+$: 427.1294, found 427.1292.

1,1,1,3,3,3-Hexafluoropropan-2-yl 2-(1-benzyl-5-chloro-2-oxoindolin-3-yl)acetate

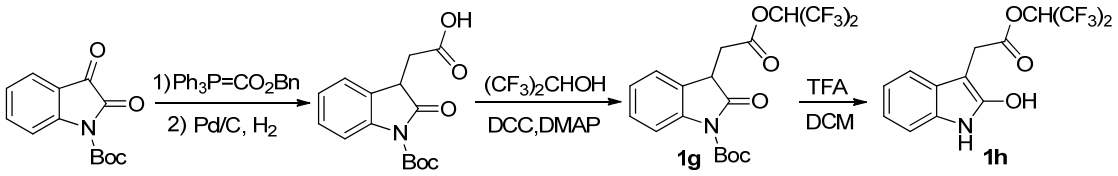


(1d). White solid, mp: 85-87 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.40-7.26 (m, 5H), 7.24 (s, 1H), 7.19 (d, *J* = 8.3 Hz, 1H), 6.68 (d, *J* = 8.3 Hz, 1H), 5.87-5.70 (m, 1H), 4.99 (d, *J* = 15.7 Hz, 1H), 4.90 (d, *J* = 15.7 Hz, 1H), 3.94 (dd, *J* = 7.5, 4.4 Hz, 1H), 3.38 (dd, *J* = 17.6, 4.5 Hz, 1H), 3.14 (dd, *J* = 17.6, 7.7 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.2, 168.0, 142.0, 135.1, 128.9, 128.6, 128.5, 128.3, 127.9, 127.2, 124.2, 120.1 (d, *J* = 279.3 Hz),110.3, 66.8 (m), 44.1, 41.3, 33.8. HRMS (ESI) calcd for $C_{20}H_{14}ClF_6NO_3Na$ $(M+Na)^+$: 488.0464, found 488.0465.

1,1,1,3,3,3-Hexafluoropropan-2-yl 2-(1-benzyl-5-methyl-2-oxoindolin-3-yl)acetate (1e). White solid, mp: 108-110 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.41-7.23 (m, 5H), 7.11-6.96 (m, 2H), 6.66 (d, *J* = 7.9 Hz, 1H), 5.82 (hept, *J* = 6.1 Hz, 1H), 4.99 (d, *J* = 15.6 Hz, 1H), 4.89 (d, *J* = 15.7 Hz, 1H), 3.94 (dd, *J* = 7.9, 4.4 Hz, 1H), 3.38 (dd, *J* = 17.3, 4.5 Hz, 1H), 3.09 (dd, *J* = 17.3, 8.0 Hz, 1H), 2.31 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.6, 168.3, 141.0, 135.6, 132.5, 128.9, 128.7, 127.6, 127.2, 126.9, 124.5, 120.2 (d, *J* = 283 Hz), 109.1, 66.7 (m), 44.0, 41.4, 34.2, 20.9. HRMS (ESI) calcd for $C_{21}H_{17}F_6NO_3$ $(M+H)^+$: 446.1191, found 446.1186.

1,1,1,3,3,3-Hexafluoropropan-2-yl 2-(1-methyl-2-oxoindolin-3-yl)acetate (1f). White solid, mp: 79-81 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.31 (t, *J* = 7.7 Hz, 1H), 7.21 (d, *J* = 7.4 Hz, 1H), 7.05 (t, *J* = 7.4 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 5.82-5.66 (m, 1H), 3.82 (dd, *J* = 7.6, 4.6 Hz, 1H), 3.28 (dd, *J* = 17.3, 4.6 Hz, 1H), 3.22 (s, 3H), 3.03 (dd, *J* = 17.3, 7.7 Hz, 1H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.6, 168.2, 144.3, 128.8, 126.8, 123.5, 122.7, 120.1 (q, *J* = 281.1 Hz), 108.3, 66.6 (m), 41.3, 34.0, 26.3. HRMS (ESI) calcd for $C_{14}H_{12}F_6NO_3$ $(M+H^+)$: 356.0721, found: 356.0715.

Procedure for the synthesis of 1g and 1h.



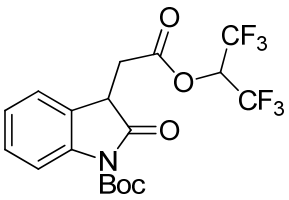
To an 50 mL two-necked flask was charged with *tert*-butyl 2,3-dioxoindoline-1-carboxylate (494 mg, 2 mmol), (benzyloxycarbonylmethylene)triphenylphosphine (874 mg, 2.2 mmol). Then 20 mL $CHCl_3$ was added and the mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC, the mixture was concentrated under reduced pressure and the residue was purified by column chromatography to give the witting product. Then, to a 50 mL round bottom flask was added witting product (2 mmol), Pd/C (50% mol) and 20 mL of methanol under H_2.

The resulting mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC, the mixture was filtered to separate Pd/C and the filtrate was concentrated under reduced pressure to get the acid directly used for next step.

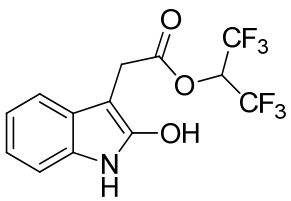
The acid intermediate (2 mmol) was dissolved in ethyl acetate, followed by the addition of 1,1,1,3,3,3-hexafluoro-2-propanol (2.4 mmol), DCC (2.4 mmol) and DMAP (0.2 mmol). The mixture was stirred at room temperature until the completion of the reaction as monitored by TLC. The mixture was filtered and the filtrate was concentrated under reduced pressure, the residue was purified by column chromatography (hexane: EtOAc = 15:1, v/v) to afford compound **1g** as white solid.

To a 25ml flask was charged with **1g** (65 mg, 0.15 mmol) and 5 mL CH_2Cl_2, then TFA (1 mL) was added. The mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC. The reaction was basified by the cautious addition of saturated aqueous sodium bicarbonate solution and the mixture was extracted by EtOAc (3×10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford product **1h** as a yellow oil (Yield = 70%, 36 mg).

***tert*-Butyl 3-(2-((1,1,1,3,3,3-hexafluoropropan-2-yl)oxy)-2-oxoethyl)-2-oxoindoline -1-carboxylate (1g)**. White solid, mp: 80-82 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.2 Hz, 1H), 7.33 (t, *J* = 7.7 Hz, 1H), 7.24-7.09 (m, 2H), 5.70 (hept, *J* = 6.0 Hz, 1H), 3.94 (t, *J* = 5.7 Hz, 1H), 3.30 (dd, *J* = 17.4, 4.7 Hz, 1H), 3.17 (dd, *J* = 17.4, 6.7 Hz, 1H), 1.64 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.1, 167.7, 148.9, 140.2, 129.0, 125.5, 124.6, 123.1, 120.1 (q, *J* = 280.8 Hz), 115.3, 84.6, 66.7 (m), 41.9, 34.3, 28.0. HRMS (ESI) calcd for $C_{18}H_{17}F_6NO_5$ $(M+Na)^+$: 464.0909, found 464.0901.

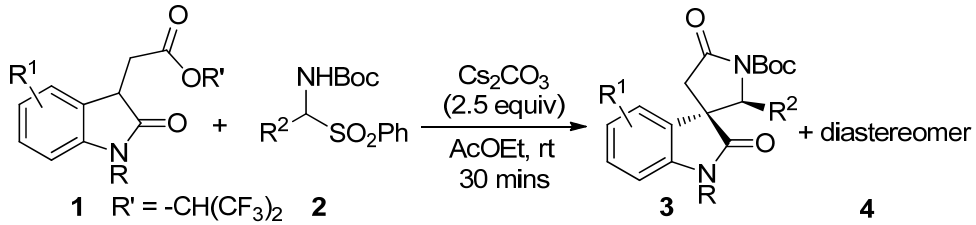


1,1,1,3,3,3-Hexafluoropropan-2-yl 2-(2-hydroxy-1H-indol-3-yl)acetate (1h). ^{1}H NMR (300 MHz, Chloroform-*d*) δ 8.06 (brs, 1H), 7.54 (d, *J* = 7.7 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 1H), 7.25-7.18 (m, 1H), 7.17-7.12 (m, 1H), 7.09 (d, *J* = 2.4 Hz, 1H), 5.85-5.73 (m, 1H), 3.95



(s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.8, 136.0, 126.7, 123.4, 122.5, 120.4 (q, *J* = 279.8 Hz), 119.9, 119.0, 118.4, 106.1, 66.7 (m), 30.1.

General procedure for the synthesis of 3 and 4.



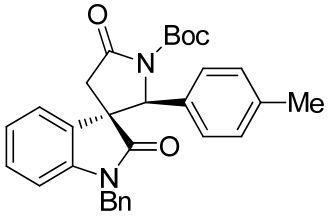
To an oven-dried 10 mL flask was charged with **1** (0.2 mmol), **2** (0.24 mmol), 163 mg of Cs_2CO_3 (0.5 mmol) and 100 mg of 4 Å molecular sieves. Then, 2 mL of dry EtOAc was added and the resulting mixture was stirred at a certain temperature. Upon completion of the reaction as monitored by TLC, the mixture was filtered and the filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using hexane/ethyl acetate/triethylamine (v/v/v = 4/1/0.005) as the eluent to afford products **3** and **4**.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2,5'-dioxo-2'-phenylspiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3a). 78 mg (83%, >95:5 dr), white solid, mp: 190-192 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.0 Hz, 1H), 7.37-7.29 (m, 1H), 7.27-6.79 (m, 9H), 6.54 (t, *J* = 7.0 Hz, 3H), 5.29 (s, 1H), 5.00 (d, *J* = 15.8 Hz, 1H), 4.22 (d, *J* = 15.8 Hz, 1H), 3.13 (d, *J* = 17.2 Hz, 1H), 2.98 (d, *J* = 17.3 Hz, 1H), 1.19 (s, 9H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 175.2, 171.6, 148.8, 142.9, 135.9, 134.9, 129.3, 128.6, 128.26, 128.22, 127.3, 126.8, 126.3, 123.1, 122.5, 109.5, 83.4, 69.7, 51.5, 43.7, 41.2, 27.5. HRMS (ESI) calcd for $C_{29}H_{28}N_2NaO_4$: 491.1947, found 491.1945. IR (KBr): *v* 3040, 3019, 3009, 2982, 2967, 1801, 1770, 1769, 1710, 1694, 1610, 1520, 1494, 1480, 1425, 1365, 1253, 1000, 750 cm^{-1}.

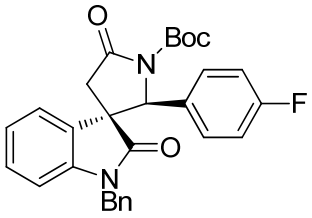
(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(4-methoxyphenyl)-2,5'-dioxospiro-[indoline-3,3'-pyrrolidine]-1'-carboxylate (3b). 89 mg (89%, 83:17 dr), white solid, mp: 198-200 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.53-7.43 (m, 1H), 7.27-6.84 (m, 7H), 6.77 (d, *J* = 8.3 Hz, 2H), 6.60-6.54 (m, 3H), 5.27 (s,

1H), 5.06 (d, *J* = 15.8 Hz, 1H), 4.23 (d, *J* = 15.8 Hz, 1H), 3.79 (s, 3H), 3.12 (d, *J* = 17.2 Hz, 1H), 2.98 (d, *J* = 17.2 Hz, 1H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.4, 171.6, 159.5, 148.9, 143.0, 134.9, 129.3, 129.1, 128.4, 127.8, 127.5, 127.4, 126.7, 123.1, 122.5, 113.5, 109.4, 83.3, 69.4, 55.1, 51.7, 43.6, 41.1, 27.5. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_5Na$ $(M+Na)^+$: 521.2052, found 521.2051. IR (KBr): 3063, 3006, 3000, 2989, 2982, 2972, 1807, 1801, 1788, 1769, 1711, 1694, 1614, 1513, 1494, 1488, 1467, 1425, 1365, 1326, 1253, 1003, 762 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2,5'-dioxo-2'-(p-tolyl)spiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3c). 90 mg (83%, 83:17 dr), white solid, mp: 196-198 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.45 (dd, *J* = 7.2, 0.9 Hz, 1H), 7.23-7.10 (m, 5H), 7.05-6.75 (m, 4H), 6.61 (d, *J* = 6.8 Hz, 2H), 6.53 (d, *J* = 7.3 Hz, 1H), 5.25 (s, 1H), 5.02 (d, *J* = 15.8 Hz, 1H), 4.23 (d, *J* = 15.8 Hz, 1H), 3.12 (d, *J* = 17.2 Hz, 1H), 2.94 (d, *J* = 17.2 Hz, 1H), 2.34 (s, 3H), 1.21 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.3, 171.7, 148.9, 142.8, 137.9, 134.9, 132.8, 129.4, 129.2, 128.9, 128.4, 127.3, 126.8, 126.2, 123.1, 122.4, 109.5, 83.3, 69.6, 51.6, 43.6, 41.1, 27.5, 21.3. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_4Na$ $(M+Na)^+$: 505.2108, found 505.2098. IR (KBr): 3009, 2975, 1800, 1740, 1622, 1499, 1467, 1429, 1375, 1326, 1253, 1025, 755 cm^{-1}.



***(2'S,3R) and (2'R,3S)--tert*-Butyl 1-benzyl-2'-(4-fluorophenyl)-2,5'-dioxospiro-[indoline-3,3'-pyrrolidine]-1'-carboxylate (3d)**. 86 mg (89%, 82:18 dr), white solid, mp: 186-188 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.47 (d, *J* = 7.1 Hz, 1H), 7.25-7.12 (m, 5H), 7.05-6.87 (m, 4H), 6.61-6.56 (m, 3H), 5.27 (s, 1H), 4.98 (d, *J* = 15.7 Hz, 1H), 4.23 (d, *J* = 15.7 Hz, 1H), 3.09 (d, *J* = 17.2 Hz, 1H), 2.98 (d, *J* = 17.3 Hz, 1H), 1.22 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.3, 171.4, 162.6 (d, *J* = 245.4 Hz), 148.8, 142.9, 134.8, 131.6 (d, *J* = 3.2 Hz), 129.5, 128.7, 128.5, 127.9 (d, *J* = 8.0 Hz), 127.5, 126.7, 123.2, 122.6, 115.2 (d, *J* = 21.7 Hz), 109.5, 83.6, 69.0, 51.5, 43.6, 41.1, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}FN_2O_4Na$ $(M+Na)^+$: 509.1853, found 509.1857. IR (KBr): 3001, 2990, 2822, 1815, 1780, 1624, 1474, 1425, 1326, 1003, 752



cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(4-chlorophenyl)-2,5'-dioxospiro-[indoline-3,3'-pyrrolidine]-1'-carboxylate (3e). 83 mg (82%, 80:20 dr), white solid, mp: 193-195 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (dd, *J* = 7.2, 1.0 Hz, 1H), 7.25-6.69 (m, 9H), 6.60-6.55 (m, 3H), 5.26 (s, 1H), 5.02 (d, *J* = 15.7 Hz, 1H), 4.21 (d, *J* = 15.7 Hz, 1H), 3.08 (d, *J* = 17.2 Hz, 1H), 2.99 (d, *J* = 17.2 Hz, 1H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.2, 171.3, 148.8, 143.0, 134.7, 134.4, 134.1, 129.5, 128.6, 128.50, 128.44, 127.6, 127.5, 126.7, 123.3, 122.6, 109.6, 83.7, 68.9, 51.5, 43.7, 41.2, 27.6. HRMS (ESI) calcd for $C_{29}H_{27}ClN_2O_4Na$ $(M+Na)^+$: 525.1557, found 525.1560. IR (KBr): 3122, 3001, 2990, 1815, 1780, 1615, 1500, 1425, 1326, 1003, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(4-bromophenyl)-2,5'-dioxospiro-[indoline-3,3'-pyrrolidine]-1'-carboxylate (3f). 99 mg (91%, 84:16 dr), white solid, mp: 194-196 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (d, *J* = 7.0 Hz, 1H), 7.35 (d, *J* = 7.9 Hz, 2H), 7.26-6.70 (m, 7H), 6.61-6.53 (m, 3H), 5.25 (s, 1H), 5.02 (d, *J* = 15.7 Hz, 1H), 4.21 (d, *J* = 15.7 Hz, 1H), 3.16-2.93 (m, 2H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.2, 171.2, 148.7, 143.0, 134.9, 134.7, 131.4, 129.5, 128.7, 128.4, 127.9, 127.5, 126.7, 123.3, 122.6, 122.2, 109.6, 83.7, 68.9, 51.4, 43.7, 41.2, 27.6. HRMS (ESI) calcd for $C_{29}H_{27}BrN_2O_4Na$ $(M+Na)^+$: 569.1052, found 569.1048. IR (KBr): 3001, 2990, 1815, 1780, 1624, 1474, 1425, 1326, 1125, 1003, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2,5'-dioxo-2'-(4-(trifluoromethyl)phenyl) spiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3g). 87 mg (81%, 80:20 dr, -20 °C), white solid, mp: 197-199 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.49 (d, *J* = 7.5 Hz, 3H), 7.26-7.06 (m, 7H), 6.61-6.56 (t, *J* = 7.0 Hz, 3H), 5.35 (s, 1H), 4.95 (d, *J* = 15.7 Hz, 1H), 4.24 (d, *J* = 15.7 Hz, 1H), 3.10 (d, *J* = 17.2 Hz, 1H), 3.01 (d, *J* =

17.3 Hz, 1H), 1.22 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.1, 171.1, 148.7, 142.9, 140.0, 134.7, 130.4 (d, *J* = 32.4 Hz), 129.6, 128.6, 128.5, 127.6, 126.70, 126.65, 125.1 (d, *J* = 3.5 Hz), 123.8 (q, *J* = 270.5 Hz), 123.4, 122.6, 109.7, 83.9, 68.9, 51.3, 43.8, 41.3, 27.5. HRMS (ESI) calcd for $C_{30}H_{27}F_3N_2O_4Na$ $(M+Na)^+$: 559.1821, found 559.1821. IR (KBr): 3001, 2988, 1818, 1730, 1624, 1474, 1425, 1320, 1000, 752 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(3-fluorophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3h). 83 mg (86%, 90:10 dr, -20 °C), white solid, mp: 195-197 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (d, *J* = 6.7 Hz, 1H), 7.25-7.10 (m, 6H), 6.98 (td, *J* = 8.3, 2.2 Hz, 1H), 6.93-6.64 (m, 4H), 6.61 (d, *J* = 7.6 Hz, 1H), 5.26 (s, 1H), 4.97 (d, *J* = 15.7 Hz, 1H), 4.27 (d, *J* = 15.7 Hz, 1H), 3.12 (d, *J* = 17.3 Hz, 1H), 2.95 (d, *J* = 17.3 Hz, 1H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.9, 171.3, 162.5 (d, *J* = 245.5 Hz), 148.7, 142.8, 138.5 (d, *J* = 7.1 Hz), 134.9, 129.8 (d, *J* = 8.0 Hz), 129.5, 129.1, 128.6, 127.5, 126.8, 123.3, 122.4, 121.8 (d, *J* = 2.5 Hz), 115.2 (d, *J* = 20.9 Hz), 113.4 (d, *J* = 22.6 Hz), 109.6, 83.7, 69.1, 51.2, 43.7, 41.1, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}FN_2O_4Na$ $(M+Na)^+$: 509.1853, found 509.1851. IR (KBr): 3080, 2997, 2980, 1798, 1720, 1645, 1474, 1425, 1326, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(3-chlorophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3i). 85 mg (85%, >95:5 dr, -20 °C), white solid, mp: 197-199 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.45 (dd, *J* = 7.3, 0.9 Hz, 1H), 7.29-7.23 (m, 2H), 7.23-6.79 (m, 7H), 6.72-6.68 (m, 2H), 6.65-6.58 (m, 1H), 5.23 (s, 1H), 5.00 (d, *J* = 15.6 Hz, 1H), 4.25 (d, *J* = 15.6 Hz, 1H), 3.13 (d, *J* = 17.3 Hz, 1H), 2.95 (d, *J* = 17.3 Hz, 1H), 1.23 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.9, 171.3, 148.7, 142.8, 138.0, 134.9, 134.2, 129.55, 129.52, 129.1, 128.7, 128.5, 127.5, 126.8, 126.4, 124.3, 123.3, 122.4, 109.6, 83.7, 69.0, 51.2, 43.7, 41.0, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}ClN_2O_4Na$ $(M+Na)^+$: 525.1557, found 525.1546. IR (KBr): 3070, 2997, 2970, 1790, 1728, 1645, 1474, 1425, 1326, 1124, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2,5'-dioxo-2'-(m-tolyl)spiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3j). 83 mg (86%, >95:5 dr, -20 °C), white solid, mp: 212-214 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.53-7.42 (m, 1H), 7.25-6.64 (m, 9H), 6.61-6.53 (m, 3H), 5.24 (s, 1H), 5.03 (d, *J* = 15.7 Hz, 1H), 4.21 (d, *J* = 15.8 Hz, 1H), 3.13 (d, *J* = 17.2 Hz, 1H), 2.95 (d, *J* = 17.2 Hz, 1H), 2.21 (s, 3H), 1.19 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.2, 171.7, 148.9, 142.9, 135.7, 135.0, 129.5, 129.3, 129.0, 128.5, 128.10, 128.05, 127.3, 126.7, 123.1, 122.4, 109.4, 83.3, 69.8, 51.5, 43.7, 41.1, 27.4, 21.3. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_4Na$ $(M+Na)^+$: 505.2108, found 505.2100. IR (KBr): 3065, 3006, 2976, 1790, 1735, 1645, 1474, 1425, 1326, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(3-methoxyphenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3k). 87 mg (87%, >95:5 dr, 0 °C), white solid, mp: 204-206 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.23-7.07 (m, 6H), 6.84 (dd, *J* = 8.0, 2.2 Hz, 1H), 6.79-6.22 (m, 5H), 5.25 (s, 1H), 5.01 (d, *J* = 15.7 Hz, 1H), 4.23 (d, *J* = 15.8 Hz, 1H), 3.61 (s, 3H), 3.11 (d, *J* = 17.2 Hz, 1H), 2.97 (d, *J* = 17.2 Hz, 1H), 1.22 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.3, 171.6, 159.5, 148.8, 143.0, 137.2, 134.9, 129.30, 129.23, 129.18, 128.6, 127.3, 126.7, 123.1, 122.5, 118.5, 114.6, 109.5, 83.4, 69.7, 55.2, 51.5, 43.7, 41.1, 27.5. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_5Na$ $(M+Na)^+$: 521.2052, found 521.2052. IR (KBr): 3070, 3000, 2890, 1780, 1720, 1645, 1474, 1425, 1320, 750 cm^{-1}.

***(2'R,3R) and (2'S,3S)-tert*-Butyl 1-benzyl-2'-(2-methoxyphenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4l)**. 85 mg (85%, <5:95 dr, 0 °C), white solid, mp: 206-208 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44 (d, *J* = 7.1 Hz, 1H), 7.32-7.27 (m, 2H), 7.25-7.18 (m, 4H), 7.10 (t, *J* = 7.2 Hz, 1H), 7.04-6.91 (m, 3H), 6.76 (d, *J* = 8.3 Hz, 1H), 6.68 (d, *J* = 7.7 Hz, 1H), 5.78 (s, 1H), 4.93 (d, *J* = 15.7 Hz, 1H), 4.54 (d, *J* = 15.7 Hz, 1H), 3.37 (s, 3H), 3.22 (d, *J* = 17.2 Hz,

1H), 2.72 (d, *J* = 17.2 Hz, 1H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.4, 172.3, 156.5, 148.8, 142.0, 135.4, 132.0, 129.1, 128.8, 128.6, 127.4, 127.1, 126.0, 124.5, 122.9, 122.2, 120.6, 110.1, 109.1, 83.2, 62.8, 54.9, 50.2, 43.9, 41.4, 27.5. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_5Na$ $(M+Na)^+$: 521.2052, found 521.2043. IR (KBr): 3120, 3020, 2934, 1756, 1726, 1645, 1474, 1425, 1326, 1056, 750 cm^{-1}.

***(2'R,3R) and (2'S,3S)-tert*-Butyl 1-benzyl-2,5'-dioxo-2'-(o-tolyl)spiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4m).** 85 mg (88%, <5:95 dr, -20 °C), white solid, mp: 208-210 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.55-7.38 (m, 2H), 7.25-7.08 (m, 7H), 7.08-6.97 (m, 1H), 6.80-6.67 (m, 2H), 6.63 (d, *J* = 7.7 Hz, 1H), 5.58 (s, 1H), 4.97 (d, *J* = 15.6 Hz, 1H), 4.33 (d, *J* = 15.6 Hz, 1H), 3.25 (d, *J* = 17.4 Hz, 1H), 2.90 (d, *J* = 17.4 Hz, 1H), 1.15 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.0, 171.9, 148.6, 142.4, 135.2, 135.0, 134.6, 130.8, 130.2, 129.3, 128.6, 128.0, 127.4, 127.1, 126.3, 126.2, 123.2, 122.5, 109.5, 83.3, 65.4, 50.7, 43.9, 41.3, 27.4, 19.1. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_4Na$ $(M+Na)^+$: 505.2108, found 505.2095. IR (KBr): 3060, 2990, 2890, 1765, 1734, 1645, 1474, 1425, 1320, 750 cm^{-1}.

(2'S,3R) and (2'R,3S)-*tert*-Butyl 1-benzyl-2'-(2-chlorophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3n). 88 mg (combined yield: 87%, 51:49 dr), white solid, mp: 194-196 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44-7.35 (m, 2H), 7.35-7.27 (m, 5H), 7.24 (dd, *J* = 7.0, 1.9 Hz, 1H), 7.14 (d, *J* = 7.8 Hz, 1H), 7.07 (td, *J* = 7.8, 0.8 Hz, 1H), 6.75-6.56 (m, 2H), 5.90-5.88 (m, 2H), 5.08 (d, *J* = 15.6 Hz, 1H), 4.82 (d, *J* = 15.6 Hz, 1H), 2.98 (d, *J* = 17.7 Hz, 1H), 2.88 (d, *J* = 17.7 Hz, 1H), 1.27 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 178.1, 171.5, 148.5, 143.3, 135.6, 135.3, 133.9, 129.7, 129.5, 128.9, 128.8, 127.8, 127.3, 127.0, 126.1, 125.7, 124.1, 122.2, 109.1, 83.5, 63.0, 48.9, 43.9, 40.4, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}ClN_2O_4Na$ $(M+Na)^+$: 525.1557, found 525.1546. IR (KBr): 3120, 3010, 2975, 1770, 1735, 1640, 1476, 1430, 750 cm^{-1}.

***(2'R,3R)* and *(2'S,3S)*-*tert*-Butyl 1-benzyl-2'-(2-chlorophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4n)**. 88 mg (combined yield: 87%, 51:49 dr), white solid, mp: 167-169 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44 (dd, *J* = 6.9, 4.9 Hz, 2H), 7.37-7.20 (m, 7H), 7.12 (t, *J* = 7.2 Hz, 1H), 7.05-7.02 (m, 2H), 6.73 (d, *J* = 7.8 Hz, 1H), 5.81 (s, 1H), 4.85 (d, *J* = 15.6 Hz, 1H), 4.63 (d, *J* = 15.6 Hz, 1H), 3.29 (d, *J* = 17.3 Hz, 1H), 2.75 (d, *J* = 17.3 Hz, 1H), 1.23 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.0, 171.8, 148.4, 142.0, 135.1, 134.2, 132.9, 131.6, 129.4, 129.3, 129.2, 128.6, 127.6, 127.3, 127.1, 127.0, 123.3, 122.3, 109.5, 83.7, 65.3, 50.0, 44.2, 41.3, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}ClN_2O_4Na$ $(M+Na)^+$: 525.1557, found 525.1556. IR (KBr): 30500, 2990, 2943, 1767, 1735, 1647, 1474, 1425, 1300, 754 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(2-bromophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3o). 95 mg (combined yield: 87%, 54:46 dr), white solid, mp: 195-197 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46-7.27 (m, 8H), 7.22-7.14 (m, 1H), 7.09 (td, *J* = 7.8, 1.0 Hz, 1H), 6.70 (d, *J* = 7.8 Hz, 1H), 6.61 (td, *J* = 7.6, 0.6 Hz, 1H), 5.85 (s, 1H), 5.83 (d, *J* = 8.5 Hz, 1H), 5.07 (d, *J* = 15.5 Hz, 1H), 4.82 (d, *J* = 15.5 Hz, 1H), 2.98 (d, *J* = 17.7 Hz, 1H), 2.85 (d, *J* = 17.7 Hz, 1H), 1.27 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 178.1, 171.5, 148.5, 143.5, 137.0, 135.3, 132.9, 129.8, 128.9, 128.7, 127.8, 127.6, 127.5, 126.0, 125.9, 124.6, 124.1, 122.2, 109.1, 83.5, 65.2, 48.8, 43.9, 40.4, 27.6. HRMS (ESI) calcd for $C_{29}H_{27}BrN_2O_4Na$ $(M+Na)^+$: 569.1052, found 569.1047. IR (KBr): 3076, 2993, 2978, 1765, 1736, 1645, 1474, 1425, 1130, 750 cm^{-1}.

***(2'R,3R)* and *(2'S,3S)*-*tert*-Butyl 1-benzyl-2'-(2-bromophenyl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4o)**. 95 mg (combined yield: 87%, 54:46 dr), white solid, mp: 166-168 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.9 Hz, 1H), 7.45 (d, *J* = 7.3 Hz, 1H), 7.42-7.36 (m, 2H), 7.28-7.21 (m, 4H), 7.13

(t, *J* = 7.5 Hz, 1H), 7.09-7.05 (m, 2H), 6.75 (d, *J* = 7.8 Hz, 1H), 5.78 (s, 1H), 4.78 (d, *J* = 15.6 Hz, 1H), 4.71 (d, *J* = 15.6 Hz, 1H), 3.32 (d, *J* = 17.4 Hz, 1H), 2.74 (d, *J* = 17.4 Hz, 1H), 1.23 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.9, 171.9, 148.4, 142.0, 135.9, 135.2, 132.6, 131.9, 129.8, 129.2, 128.7, 127.6, 127.4, 127.3, 123.4, 123.2, 122.3, 109.5, 83.8, 67.7, 49.9, 44.3, 41.3, 27.5. HRMS (ESI) calcd for $C_{29}H_{27}BrN_2O_4Na$ $(M+Na)^+$: 569.1052, found 569.1047. IR (KBr): 3070, 2999, 2968, 1760, 1736, 1645, 1474, 1425, 1125, 750 cm^{-1}.

***(2'R,3R)* and *(2'S,3S)*-*tert*-Butyl 1-benzyl-2'-(naphthalen-1-yl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4p)**. 95 mg (92%, <5:95 dr), white solid, mp: 212-214 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.86 (t, *J* = 8.3 Hz, 2H), 7.68-7.57 (m, 2H), 7.52 (t, *J* = 7.7 Hz, 1H), 7.44-7.35 (m, 1H), 7.26-7.10 (m, 5H), 7.05 (t, *J* = 7.3 Hz, 2H), 6.62-6.57 (m, 3H), 6.19 (s, 1H), 4.73 (d, *J* = 15.7 Hz, 1H), 4.19 (d, *J* = 15.7 Hz, 1H), 3.40 (d, *J* = 17.5 Hz, 1H), 2.89 (d, *J* = 17.5 Hz, 1H), 1.03 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.4, 172.0, 148.7, 142.2, 134.8, 133.4, 132.3, 131.9, 130.7, 129.3, 129.0, 128.8, 128.5, 127.3, 126.9, 126.1, 125.5, 125.2, 123.5, 123.4, 122.2, 121.4, 109.6, 83.5, 65.0, 50.4, 44.0, 41.6, 27.3. HRMS (ESI) calcd for $C_{33}H_{30}N_2O_4Na$ $(M+Na)^+$: 541.2103, found 541.2097. IR (KBr): 3032, 2978, 1758, 1738, 1645, 1454, 1325, 1129, 750 cm^{-1}.

(2'*S*,3*R*) and (2'*R*,3*S*)-*tert*-Butyl 1-benzyl-2'-(naphthalen-2-yl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3q). 86 mg (83%, >95:5 dr), white solid, mp: 206-208 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.9 Hz, 1H), 7.79-7.40 (m, 6H), 7.30-7.05 (m, 3H), 6.95 (t, *J* = 7.4 Hz, 1H), 6.65-6.45 (m, 3H), 6.27 (d, *J* = 7.1 Hz, 2H), 5.48 (s, 1H), 4.98 (d, *J* = 15.7 Hz, 1H), 4.10 (d, *J* = 15.8 Hz, 1H), 3.17 (d, *J* = 17.2 Hz, 1H), 3.05 (d, *J* = 17.2 Hz, 1H), 1.13 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.3, 171.6, 148.9, 143.0, 134.5, 133.4, 133.2, 132.9, 129.4, 129.0, 128.2, 128.0, 127.7, 127.1, 126.4, 126.3, 126.2, 125.2, 124.1, 123.2, 122.6, 109.5, 83.4, 69.8, 51.6, 43.6, 41.3, 27.4. HRMS (ESI) calcd for

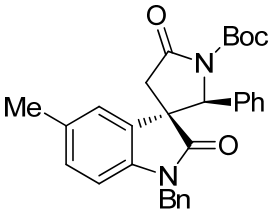
$C_{33}H_{30}N_2O_4Na$ $(M+Na)^+$: 541.2103, found 541.2103. IR (KBr): 3092, 3000, 2978, 1760, 1745, 1625, 1454, 1325, 1130, 1000, 750 cm^{-1}.

***(2'S,3R) and (2'R,3S)-tert*-Butyl 1-benzyl-2'-(furan-2-yl)-2,5'-dioxospiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4r).** 46 mg (50%, 18: 82 dr), white solid, mp: 199-201 °C. ^1H NMR (300 MHz, $CDCl_3$) δ 7.40 (d, *J* = 1.0 Hz, 1H), 7.38-7.27 (m, 4H), 7.25-7.16 (m, 3H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 1H), 6.38 (dd, *J* = 3.2, 1.8 Hz, 1H), 6.24 (d, *J* = 3.2 Hz, 1H), 5.22 (s, 1H), 5.03 (d, *J* = 15.6 Hz, 1H), 4.59 (d, *J* = 15.6 Hz, 1H), 3.49 (d, *J* = 17.1 Hz, 1H), 2.67 (d, *J* = 17.1 Hz, 1H), 1.36 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.7, 171.4, 149.4, 148.7, 142.5, 141.7, 135.3, 131.8, 129.3, 128.8, 127.7, 127.3, 123.4, 121.8, 110.5, 109.5, 108.1, 83.8, 63.4, 50.3, 44.0, 40.4, 27.7. HRMS (ESI) calcd for $C_{27}H_{26}N_2O_5Na$ $(M+Na)^+$: 481.1739, found 481.1736. IR (KBr): 3012, 2988, 1788, 1708, 1612, 1494, 1392, 1120, 750 cm^{-1}.

***(2'S,3R) and (2'R,3S)-tert*-Butyl 1-benzyl-2,5'-dioxo-2'-(thiophen-2-yl)spiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (4s)**. 47 mg (49%, <5:95 dr, 0 °C), white solid, mp: 198-200 °C. ^1H NMR (300 MHz, $CDCl_3$) δ 7.44-7.36 (m, 1H), 7.25-7.17 (m, 5H), 7.15-7.09 (m, 1H), 6.95 (dd, *J* = 4.9, 3.7 Hz, 1H), 6.92-6.81 (m, 3H), 6.64 (d, *J* = 7.7 Hz, 1H), 5.48 (s, 1H), 5.04 (d, *J* = 15.7 Hz, 1H), 4.39 (d, *J* = 15.7 Hz, 1H), 3.25 (d, *J* = 17.2 Hz, 1H), 2.86 (d, *J* = 17.2 Hz, 1H), 1.29 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.6, 171.1, 148.6, 142.6, 138.7, 135.0, 129.8, 129.4, 128.6, 127.5, 127.0, 126.8, 125.5, 124.7, 123.2, 122.2, 109.5, 83.7, 65.5, 51.5, 43.8, 40.5, 27.6. HRMS (ESI) calcd for $C_{27}H_{26}N_2O_4SNa$ $(M+Na)^+$: 497.1511, found 497.1504. IR (KBr): 3325, 3062, 3010, 2966, 2914, 1795, 1701, 1575, 1490, 1367, 1141, 744 cm^{-1}.

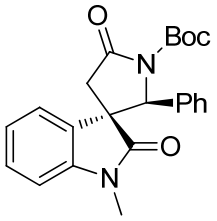
***(2'S,3R) and (2'R,3S)-tert*-Butyl 1-benzyl-5-chloro-2,5'-dioxo-2'-phenylspiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3t)**. 77 mg (77%, 67:33 dr), white solid, mp: 192-194 °C. ^1H NMR (300 MHz, $CDCl_3$) δ 7.46 (d, *J* = 2.0 Hz, 1H), 7.37-7.30 (m, 1H), 7.28-7.21 (m, 2H), 7.20-6.84 (m, 6H), 6.50 (d, *J* = 6.7 Hz, 2H),

6.43 (d, J = 8.4 Hz, 1H), 5.26 (s, 1H), 4.98 (d, J = 15.8 Hz, 1H), 4.18 (d, J = 15.8 Hz, 1H), 3.10 (d, J = 17.2 Hz, 1H), 2.97 (d, J = 17.2 Hz, 1H), 1.18 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.8, 171.2, 148.6, 141.4, 135.5, 134.4, 130.7, 129.3, 128.6, 128.5, 128.4, 128.3, 127.5, 126.6, 126.2, 123.1, 110.5, 83.6, 69.5, 51.7, 43.7, 41.0, 27.4. HRMS (ESI) calcd for $C_{29}H_{27}ClN_2O_4Na$ $(M+Na)^+$: 525.1557, found 525.1563. IR (KBr): 3018, 2978, 1778, 1728, 1622, 1454, 1372, 1129, 738 cm^{-1}.



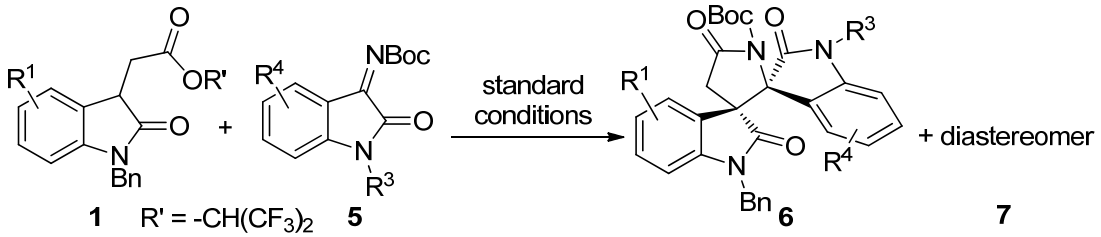
***(2'S,3R) and (2'R,3S)-tert*-Butyl 1-benzyl-5-methyl-2,5'-dioxo-2'-phenylspiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3u)**. 45 mg (47%, >95:5 dr), white solid, mp: 184-186 ºC. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.35-7.20 (m, 5H), 7.17-7.09 (m, 3H), 6.99 (d, J = 7.9 Hz, 2H), 6.62-6.50 (m, 2H), 6.42 (d, J = 8.0 Hz, 1H), 5.27 (s, 1H), 4.97 (d, J = 15.7 Hz, 1H), 4.20 (d, J = 15.8 Hz, 1H), 3.13 (d, J = 17.2 Hz, 1H), 2.95 (d, J = 17.2 Hz, 1H), 2.37 (s, 3H), 1.19 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.2, 171.8, 148.8, 140.4, 136.0, 135.0, 132.8, 129.6, 129.4, 128.5, 128.2, 127.3, 126.7, 126.3, 123.2, 109.3, 83.4, 69.8, 51.5, 43.6, 41.2, 27.4, 21.2. HRMS (ESI) calcd for $C_{30}H_{30}N_2O_4Na$ $(M+Na)^+$: 505.2108, found 505.2108. IR (KBr): 3002, 2985, 1776, 1738, 1612, 1494, 1382, 1130, 744 cm^{-1}.

***(2'S,3R) and (2'R,3S)-tert*-Butyl 1-methyl-2,5'-dioxo-2'-phenylspiro[indoline-3,3'-pyrrolidine]-1'-carboxylate (3v)**. 71 mg (90%, >95:5 dr), white solid, mp: 197-199 ºC. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.43 (d, J = 7.3 Hz, 1H), 7.35 (t, J = 7.6 Hz, 1H), 7.22-7.14 (m, 4H), 7.04-6.84 (m, 2H), 6.73 (d, J = 7.8 Hz, 1H), 5.16 (s, 1H), 3.13 (d, J = 17.3 Hz, 1H), 2.85 (d, J = 17.3 Hz, 1H), 2.85 (s, 3H), 1.19 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.7, 171.8, 148.8, 143.4, 135.8, 130.2, 129.4, 128.2, 127.9, 125.7, 123.1, 122.2, 108.3, 83.3, 70.0, 51.4, 40.2, 27.5, 26.0. HRMS (ESI) calcd for $C_{23}H_{24}N_2O_4Na$ $(M+Na)^+$: 415.1634, found 415.1629. IR (KBr): 3032, 2989, 1776, 1728, 1646, 1464, 1378, 1138, 755 cm^{-1}.



***(2'S,3R) and (2'R,3S)-di-tert*-Butyl 2,5'-dioxo-2'-phenylspiro[indoline-3,3'-pyrrolidine]-1,1'-dicarboxylate (3w)**. 73 mg (76%, >95:5 dr), white solid, mp: 198-200 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.0 Hz, 1H), 7.44 (d, *J* = 7.3 Hz, 1H), 7.37 (t, *J* = 7.3 Hz, 1H), 7.29 (d, *J* = 7.4 Hz, 1H), 7.20 (d, *J* = 5.9 Hz, 3H), 6.89 (brs, 2H), 5.12 (s, 1H), 3.12 (d, *J* = 17.4 Hz, 1H), 2.95 (d, *J* = 17.4 Hz, 1H), 1.43 (s, 9H), 1.18 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.7, 171.3, 148.7, 148.3, 139.7, 135.0, 129.6, 128.4, 128.1, 128.0, 125.6, 125.1, 122.2, 115.1, 84.1, 83.5, 71.0, 52.2, 40.2, 27.8, 27.4. HRMS (ESI) calcd for $C_{27}H_{30}N_2O_6Na$ $(M+Na)^+$: 501.2002, found 501.2002. IR (KBr): 3033, 2990, 1778, 1756, 1737, 1643, 1454, 1367, 1129, 758 cm^{-1}.

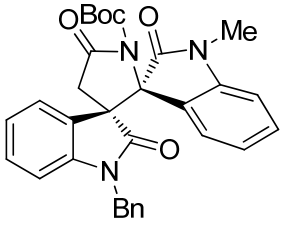
General procedure for the synthesis of 6 and 7.



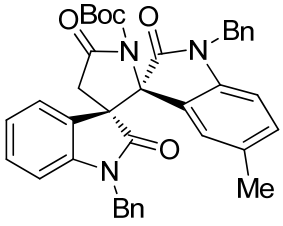
To an oven-dried 10 mL flask was charged with 1,1,1,3,3,3-hexafluoropropan-2-yl 2-(1-benzyl-2-oxoindolin-3-yl)acetate **1** (0.2 mmol), **5** (0.24 mmol), 163 mg of Cs_2CO_3 (0.5 mmol) and 100 mg of 4 Å molecular sieves. Then, 2 mL of dry EtOAc was added and the resulting mixture was stirred at a certain temperature. Upon completion of the reaction as monitored by TLC, the mixture was filtered and the filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using hexane/ethyl acetate/triethylamine (v/v/v = 4/1/0.005) as the eluent to afford products **6** and **7**.

***(2'S,3S) and (2'R,3R)-tert*-butyl 1,1''-dibenzyl-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (6a)**. 96 mg (80%, 85:15 dr), white solid, mp: 187-190 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (d, *J* = 7.4 Hz, 1H), 7.26-7.22 (m, 2H), 7.20-7.05 (m, 7H), 6.97 (t, *J* = 7.4 Hz, 2H), 6.65-6.57 (m, 2H), 6.48 (t, *J* = 7.5 Hz, 3H), 6.40 (d, *J* = 7.5 Hz, 1H), 5.24 (d, *J* = 16.1 Hz, 1H), 5.16 (d, *J* = 15.6 Hz, 1H), 4.54 (d, *J* = 15.6 Hz, 1H), 4.30 (d, *J* = 16.1 Hz, 1H),

3.99 (d, *J* = 16.3 Hz, 1H), 2.76 (d, *J* = 16.3 Hz, 1H), 1.04 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.0, 172.5, 172.4, 147.7, 143.8, 142.4, 134.8, 134.3, 129.9, 128.55, 128.49, 128.3, 127.6, 127.5, 127.1, 126.0, 124.3, 123.83, 123.80, 122.8, 121.8, 109.70, 109.69, 84.0, 71.3, 54.2, 44.6, 43.6, 38.9, 27.3. HRMS (ESI) calcd for $C_{37}H_{33}N_3O_5NH_4$ $(M+NH_4)^+$: 617.2764, found 617.2754. IR (KBr): 3043, 2998, 2889, 1768, 1738, 1619, 1494, 1392, 1110, 750 cm^{-1}.

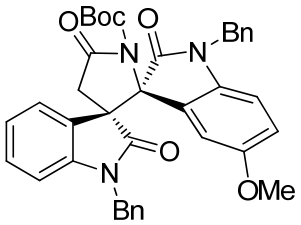


***(2'S,3S)* and *(2'R,3R)-tert*-butyl 1''-benzyl-1-methyl-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (6b)**. 90 mg (86%, 84:16 dr), white solid, mp: 194-197 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.2 Hz, 1H), 7.28 (t, *J* = 7.8 Hz, 1H), 7.24-7.03 (m, 5H), 6.73 (d, *J* = 7.8 Hz, 1H), 6.63 (t, *J* = 7.6 Hz, 1H), 6.45 (t, *J* = 7.2 Hz, 3H), 6.31 (d, *J* = 7.5 Hz, 1H), 5.17 (d, *J* = 16.1 Hz, 1H), 4.25 (d, *J* = 16.1 Hz, 1H), 4.02 (d, *J* = 16.2 Hz, 1H), 3.16 (s, 3H), 2.66 (d, *J* = 16.2 Hz, 1H), 1.06 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.0, 172.6, 172.0, 147.6, 144.5, 142.0, 134.5, 130.0, 129.8, 128.8, 128.6, 127.2, 126.0, 124.4, 123.7, 123.5, 122.8, 121.7, 109.7, 108.3, 83.9, 71.2, 54.3, 43.5, 38.7, 27.3, 26.4. HRMS (ESI) calcd for $C_{31}H_{29}N_3O_5NH_4$ $(M+NH_4)^+$: 541.2451, found 541,2443. IR (KBr): 3001, 2976, 1765, 1746, 1612, 1500, 1392, 1133, 750 cm^{-1}.

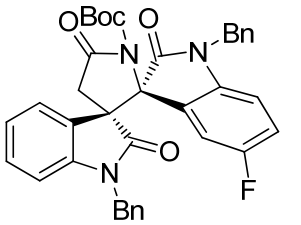


***(2'S,3S)* and *(2'R,3R)-tert*-butyl 1,1''-dibenzyl-5-methyl-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (6c)**. 91 mg (74%, 87:13 dr), white solid, mp: 178-182 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.2 Hz, 1H), 7.24-6.89 (m, 11H), 6.50-6.43 (m, 4H), 6.18 (s, 1H), 5.23 (d, *J* = 16.2 Hz, 1H), 5.13 (d, *J* = 15.6 Hz, 1H), 4.52 (d, *J* = 15.6 Hz, 1H), 4.30 (d, *J* = 16.1 Hz, 1H), 3.97 (dd, *J* = 16.3, 3.1 Hz, 1H), 2.78 (dd, *J* = 16.2, 3.1 Hz, 1H), 1.92 (s, 3H), 1.04 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.9, 172.48, 172.47, 147.8, 142.5, 141.3, 135.0, 134.4, 131.4, 130.0, 129.7, 128.5, 128.4, 128.2, 127.6, 127.4, 127.1, 126.1, 125.1, 123.9, 122.6, 109.7, 109.4, 83.9, 71.4, 54.2, 44.6, 43.6, 38.8, 27.3, 20.6. HRMS (ESI) calcd for $C_{38}H_{35}N_3O_5NH_4$ $(M+NH_4)^+$: 631.2920, found 631.2908. IR (KBr): 3022, 2990,

1776, 1740, 1640, 1484, 1376, 1143, 755 cm^{-1}.



***(2'S,3S) and (2'R,3R)-tert*-butyl 1,1''-dibenzyl-5-methoxy-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (6d)**. 59 mg (47%, 80:20 dr), white solid, mp: 204-207 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (d, *J* = 7.3 Hz, 1H), 7.40-7.29 (m, 2H), 7.24 (t, *J* = 7.7 Hz, 1H), 7.20-7.07 (m, 5H), 7.02 (t, *J* = 7.5 Hz, 2H), 6.72 (dd, *J* = 8.6, 2.5 Hz, 1H), 6.50 (d, *J* = 7.3 Hz, 4H), 5.98 (d, *J* = 2.4 Hz, 1H), 5.29 (d, *J* = 16.2 Hz, 1H), 5.17 (d, *J* = 15.6 Hz, 1H), 4.55 (d, *J* = 15.6 Hz, 1H), 4.32 (d, *J* = 16.2 Hz, 1H), 4.08 (d, *J* = 16.3 Hz, 1H), 3.30 (s, 3H), 2.78 (d, *J* = 16.3 Hz, 1H), 1.09 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 172.5, 172.2, 155.1, 147.8, 142.5, 137.2, 135.0, 134.3, 129.9, 128.6, 128.5, 127.7, 127.5, 127.2, 126.0, 124.6, 123.8, 122.7, 116.0, 110.6, 110.3, 109.9, 84.1, 71.4, 55.8, 54.2, 44.8, 43.6, 38.7, 27.4. HRMS (ESI) calcd for $C_{38}H_{35}N_3O_6Na$ $(M+Na)^+$: 652.2424, found 652.2421. IR (KBr): 3065, 3000, 1776, 1743, 1642, 1484, 1395, 1123, 747 cm^{-1}.



***(2'S,3S) and (2'R,3R)-tert*-butyl 1,1''-dibenzyl-5-fluoro-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (6e)**. 70 mg (57%, 42:58 dr), white solid, mp: 176-180 °C. Minor product: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.1 Hz, 1H), 7.34-7.24 (m, 3H), 7.18-7.10 (m, 5H), 7.03 (t, *J* = 7.4 Hz, 2H), 6.88-6.82 (m, 1H), 6.65-6.43 (m, 4H), 6.13 (dd, *J* = 8.1, 2.2 Hz, 1H), 5.23 (d, *J* = 16.0 Hz, 1H), 5.16 (d, *J* = 15.6 Hz, 1H), 4.59 (d, *J* = 15.6 Hz, 1H), 4.35 (d, *J* = 16.0 Hz, 1H), 4.04 (d, *J* = 16.3 Hz, 1H), 2.78 (d, *J* = 16.3 Hz, 1H), 1.14 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.9, 172.1, 158.1 (d, *J* = 240.6 Hz), 147.8, 142.3, 139.85, 139.84, 134.6, 134.4, 130.2, 128.63, 128.60, 128.0, 127.72, 127.67, 127.4, 126.2, 125.4 (d, *J* = 8.3 Hz), 123.7, 123.0, 116.0 (d, *J* = 23.2 Hz), 112.5 (d, *J* = 26.2 Hz), 110.1 (d, *J* = 7.7 Hz), 109.9, 84.4, 71.2, 54.0, 44.9, 43.7, 38.8, 27.5. HRMS (ESI) calcd for $C_{37}H_{32}FN_3NaO_5$ $(M+Na)^+$: 640.2224, found 640.2210. IR (KBr): 3042, 2998, 2897, 1767, 1736, 1615, 1494, 1392, 1120, 746 cm^{-1}.

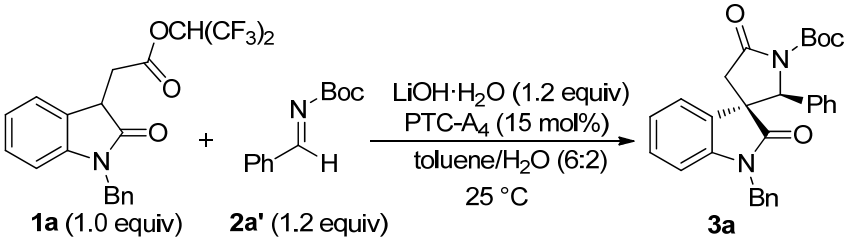
***(2'R,3S) and (2'S,3R)-tert*-butyl 1,1''-dibenzyl-5-fluoro-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (7e)**. 70 mg (57%, 42:58 dr), red oil. Major product: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J* = 7.3 Hz, 1H), 7.31-7.10 (m, 8H), 6.90-8.83 (m, 6H), 6.55 (d, *J* = 7.7 Hz, 1H), 6.39 (dd, *J* = 8.4, 3.9 Hz, 1H), 5.03 (d, *J* = 15.9 Hz, 1H), 4.96 (d, *J* = 16.0 Hz, 1H), 4.58 (d, *J* = 15.9 Hz, 1H), 4.56 (d, *J* = 15.8 Hz, 1H), 3.64 (d, *J* = 16.7 Hz, 1H), 2.85 (d, *J* = 16.7 Hz, 1H), 1.17 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.5, 174.3, 171.2, 159.2 (d, *J* = 241.3 Hz), 147.8, 143.7, 139.38, 139.37, 134.6, 134.2, 129.9, 128.7, 127.64, 127.61, 127.1, 126.7, 126.5 (d, *J* = 8.3 Hz), 125.4, 123.4, 123.1, 116.2 (d, *J* = 23.2 Hz), 113.5 (d, *J* = 25.9 Hz), 110.3 (d, *J* = 7.7 Hz), 109.7. 84.0, 70.7, 52.4, 44.3, 43.7, 38.9, 27.5. HRMS (ESI) calcd for $C_{37}H_{32}FN_3NaO_5$ $(M+Na)^+$: 640.2224, found 640.2210. IR (KBr): 3038, 2997, 2890, 1760, 1740, 1625, 1490, 1389, 1116, 750 cm^{-1}.

***(2'R,3S) and (2'S,3R)-tert*-butyl 1,1''-dibenzyl-4-chloro-2,2'',5'-trioxodispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-1'-carboxylate (7f)**. 88 mg (69%, <5:95 dr), white solid, mp: 182-185 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 7.5 Hz, 1H), 7.21-7.09 (m, 8H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 8.2 Hz, 1H), 6.86 (d, *J* = 7.0 Hz, 4H), 6.60 (d, *J* = 7.8 Hz, 1H), 6.49 (d, *J* = 7.8 Hz, 1H), 4.73 (d, *J* = 15.6 Hz, 1H), 4.66 (d, *J* = 15.5 Hz, 1H), 4.40 (d, *J* = 15.6 Hz, 1H), 4.31 (d, *J* = 15.5 Hz, 1H), 3.49 (d, *J* = 17.1 Hz, 1H), 3.12 (d, *J* = 17.2 Hz, 1H), 1.19 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.3, 172.9, 171.4, 147.8, 143.8, 142.4, 135.0, 134.7, 132.4, 130.4, 129.7, 128.64, 128.60, 127.7, 127.5, 127.4, 127.1, 126.7, 126.2, 124.3, 123.6, 122.6, 109.0, 107.5, 84.0, 73.2, 52.4, 44.4, 44.1, 41.4, 27.4. HRMS (ESI) calcd for $C_{37}H_{32}ClN_3O_5Na$ $(M+Na)^+$: 656.1928, found 656.1922. IR (KBr): 3033, 2996, 2890, 1777, 1730, 1615, 1494, 1390, 1115, 748 cm^{-1}.

6g. 119 mg (94%, 87:13). White solid, mp: 181-182 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.38 (d, *J* = 1.1 Hz, 1H), 7.25-7.14 (m, 4H), 7.12-7.06 (m, 4H), 6.98 (t, *J* = 7.5 Hz, 2H), 6.71 (t, *J* = 7.6 Hz, 1H), 6.61 (d, *J* = 7.9 Hz, 1H), 6.48 (d, *J* = 7.4 Hz, 3H), 6.38 (d, *J* = 8.4 Hz, 1H), 5.22 (d, *J* = 16.1 Hz, 1H), 5.15 (d, *J* = 15.6 Hz, 1H), 4.53 (d, *J* = 15.6 Hz, 1H), 4.28 (d, *J* = 16.1 Hz, 1H), 3.94 (d, *J* = 16.4 Hz, 1H), 2.76 (d, *J* = 16.4 Hz, 1H), 1.05 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.7, 171.99, 171.87, 147.5, 143.7, 140.9, 134.7, 133.8, 130.1, 129.8, 129.7, 128.6, 128.5, 128.3, 127.6, 127.5, 127.3, 125.98, 124.11, 124.10, 123.6, 122.0, 110.7, 109.9, 84.3, 71.1, 54.2, 44.7, 43.7, 38.7, 27.3. HRMS (ESI) calcd for $C_{37}H_{32}ClN_3O_5NH_4$ $(M+NH_4)^+$: 651.2374, found 651.2373. IR (KBr): 3048, 2998, 2890, 1798, 1748, 1610, 1499, 1392, 1130, 751 cm^{-1}.

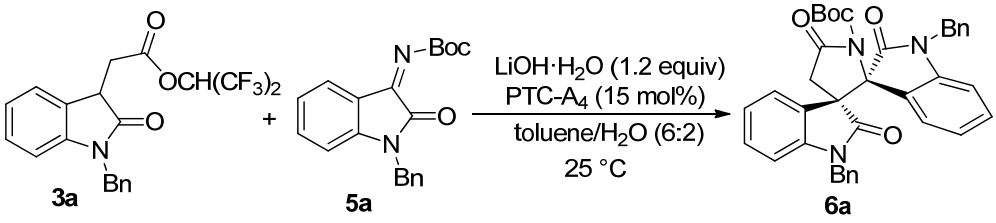
6h. 112 mg (94%, 79:21). White solid, mp: 185-187°C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.28-7.24 (m, 3H), 7.17-7.05 (m, 5H), 7.00-6.94 (m, 3H), 6.64 (t, *J* = 7.6 Hz, 1H), 6.58 (d, *J* = 7.8 Hz, 1H), 6.47 (d, *J* = 7.5 Hz, 2H), 6.40 (d, *J* = 7.5 Hz, 1H), 6.34 (d, *J* = 8.0 Hz, 1H), 5.19 (t, *J* = 16.6 Hz, 2H), 4.52 (d, *J* = 15.6 Hz, 1H), 4.27 (d, *J* = 16.1 Hz, 1H), 3.98 (d, *J* = 16.3 Hz, 1H), 2.74 (d, *J* = 16.3 Hz, 1H), 2.33 (s, 3H), 1.03 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.1, 172.7, 172.3, 147.7, 143.9, 139.9, 134.9, 134.4, 132.5, 130.2, 129.8, 128.50, 128.46, 128.3, 127.7, 127.5, 127.1, 125.98, 124.4, 124.3, 123.9, 121.8, 109.7, 109.5, 84.0, 71.3, 54.2, 44.7, 43.6, 38.9, 27.3, 21.1. HRMS (ESI) calcd for $C_{38}H_{35}N_3O_5NH_4$ $(M+NH_4)^+$: 631.2920, found 631.2920. IR (KBr): 3022, 2990, 2892, 1770, 1741, 1619, 1489, 1392, 1120, 746 cm^{-1}.

Procedure for the synthesis of 3a with chiral-phase-transfer catalysis.



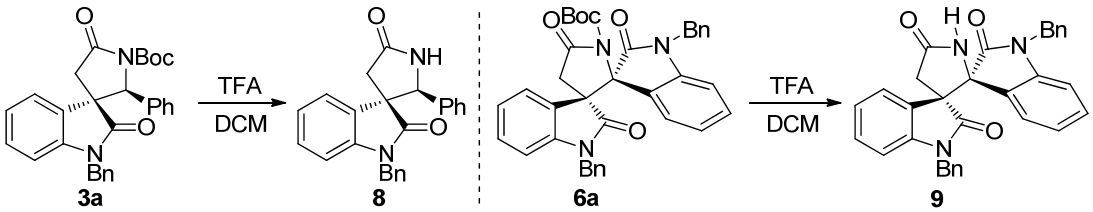
To a 25mL flask was charged with an 1,1,1,3,3,3-hexafluoropropan-2-yl 2-(1-benzyl-2-oxoindolin-3-yl)acetate **1a** (43 mg, 0.1 mmol), *tert*-butyl-benzylidenecarbamate **2a'** (25 mg, 0.12 mmol), LiOH (6 mg, 0.5 mmol) and PTC-A_4 (9 mg, 15 mol%). Then, 6 mL toluene and 2 ml H_2O was added and the resulting mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC, the mixture was poured to 10 mL water and extracted by EtOAc (3 × 10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using hexane/ethyl acetate/triethylamine (v/v/v = 4/1/0.005) as the eluent to afford product **3a** (54 mg, 93% yield, 90.5:9.5 er). HPLC DAICEL CHIRALCEL IF, *n*-hexane/2-propanol = 70/30, flow rate = 1.2 mL/min, λ = 254 nm, retention time: 17.53 min (minor), 20.96 min (major).

Procedure for the synthesis of 6a with chiral-phase-transfer catalysis.



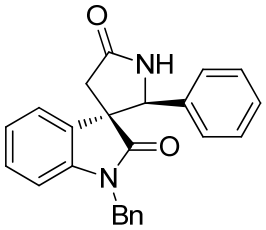
To a 25 mL flask was charged with 1,1,1,3,3,3-hexafluoropropan-2-yl 2-(1-benzyl-2-oxoindolin-3-yl)acetate **3a** (43 mg, 0.1 mmol), *tert*-butyl-(1-benzyl-2-oxoindolin-3-ylidene)carbamate **5a** (40 mg, 0.12 mmol), LiOH (6 mg, 0.5 mmol) and PTC-A_4 (9 mg, 15 mol%). Then, 6 mL toluene and 2 ml H_2O was added and the resulting mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC, the mixture was poured to 10 mL water and extracted by EtOAc (3 × 10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using hexane/ethyl acetate/triethylamine (v/v/v = 4/1/0.005) as the eluent to afford product **6a** (44 mg, 74% yield, 50:50 er).

Procedure for the deprotection of the products 3a and 6a.

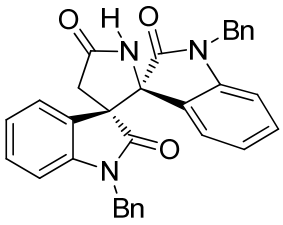


To a 25ml flask was charged with **3a** (93.6 mg, 0.2 mmol) and 10 mL CH_2Cl_2, then TFA (1 mL) was added. The mixture was stirred at room temperature. Upon completion of the reaction as monitored by TLC. The reaction was basified by the cautious addition of saturated aqueous sodium bicarbonate solution and the mixture was extracted by EtOAc (3×10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford NMR pure product **8**.

This procedure is also applicable to the synthesis of **9**.



(2'*S*,3*R*) and (2'*R*,3*S*)-1-benzyl-2'-phenylspiro[indoline-3,3'-pyrrolidine]-2,5'-dione (8). 74 mg (99% yield), white solid, mp: 220-223 °C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.57-7.44 (m, 1H), 7.32 (t, *J* = 7.3 Hz, 1H), 7.24-7.11 (m, 5H), 7.10-6.86 (m, 4H), 6.51-6.44 (m, 3H), 5.19 (s, 1H), 4.98 (d, *J* = 15.8 Hz, 1H), 4.16 (d, *J* = 15.8 Hz, 1H), 2.98 (d, *J* = 16.8 Hz, 1H), 2.90 (d, *J* = 16.9 Hz, 1H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.9, 175.2, 143.1, 135.0, 134.9, 129.1, 128.8, 128.6, 128.5, 127.2, 126.6, 126.5, 122.9, 122.8, 109.3, 66.5, 55.5, 43.5, 40.6. HRMS (ESI) calcd for $C_{24}H_{21}N_2O_2(M+H)^+$: 369.1603, found 369.1595. IR (KBr): 3112, 3001, 2920, 1760, 1743, 1601, 1500, 1312, 1119, 750 cm^{-1}.



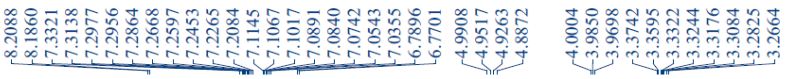
(2'*S*,3*S*) and (2'*R*,3*R*)-1,1''-dibenzyldispiro[indoline-3,2'-pyrrolidine-3',3''-indoline]-2,2'',5'-trione (9). 99 mg (99% yield), white solid, mp: 212-215 °C. ^{1}H NMR (300 MHz, DMSO) δ 8.77 (brs, 1H), 7.52 (d, *J* = 7.3 Hz, 1H), 7.33-7.04 (m, 9H), 7.00 (t, *J* = 7.3 Hz, 2H), 6.72 (d, *J* = 7.8 Hz, 1H), 6.62 (t, *J* = 7.1 Hz, 2H), 6.53 (d, *J* = 7.4 Hz, 2H), 6.41 (d, *J* = 7.4 Hz, 1H), 5.06 (d, *J* = 16.4 Hz, 1H), 4.94 (d, *J* = 16.0 Hz, 1H), 4.73 (d, *J* = 16.0 Hz, 1H), 4.49 (d, *J* = 16.4 Hz, 1H), 3.55 (d, *J* = 15.8 Hz, 1H),

2.51 (d, J = 15.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.8, 175.1, 172.7, 143.6, 142.1, 135.6, 135.2, 130.4, 129.6, 129.5, 128.5, 128.4, 127.33, 127.26, 126.9, 126.0, 125.3, 123.8, 123.0, 122.6, 121.7, 109.7, 109.6, 68.6, 57.3, 43.3, 42.6, 38.4. HRMS (ESI) calcd for $C_{32}H_{26}N_3O_3(M+H)^+$: 500.1974, found 500.1969. IR (KBr): 3030, 2999, 2898, 1769, 1740, 1615, 1494, 1401, 1129, 752 cm^{-1}.

References:

1. Seayad, A. M.; Ramalingam, B.; Yoshinaga, K.; Nagata, T.; Chai, C. L. L., *Org. Lett.* **2010,** *12*, 264-267.
2. Yan, W.; Wang, D.; Feng, J.; Li, P.; Zhao, D.; Wang, R., *Org. Lett.* **2012,** *14*, 2512-2515.

1a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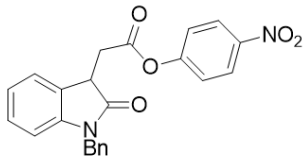
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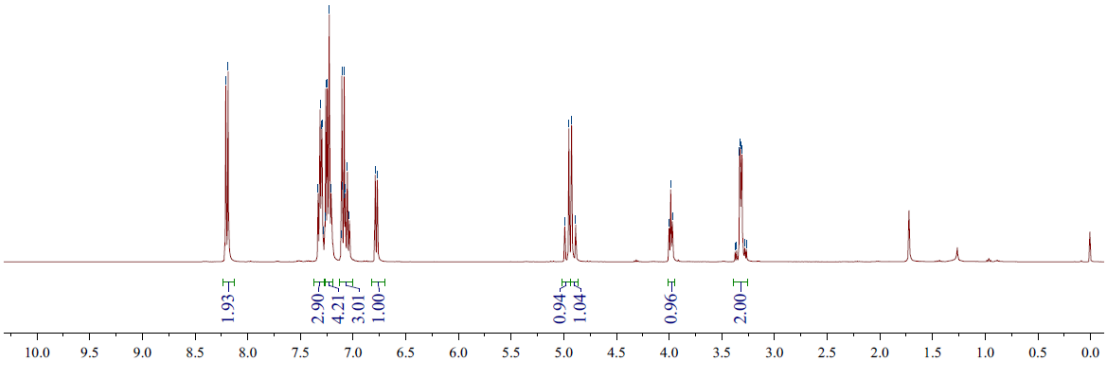
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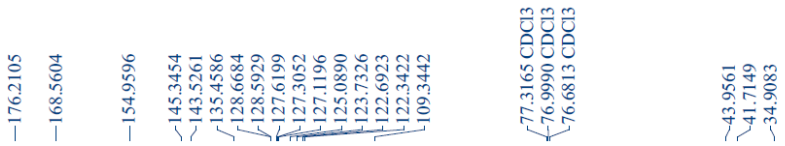
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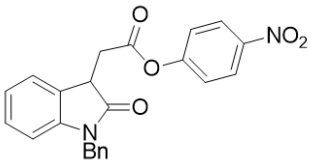
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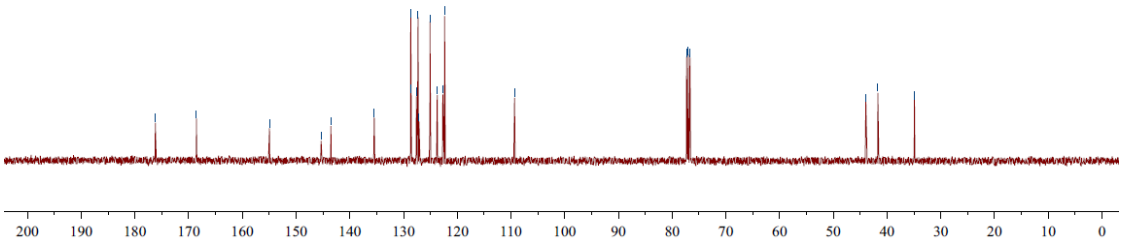
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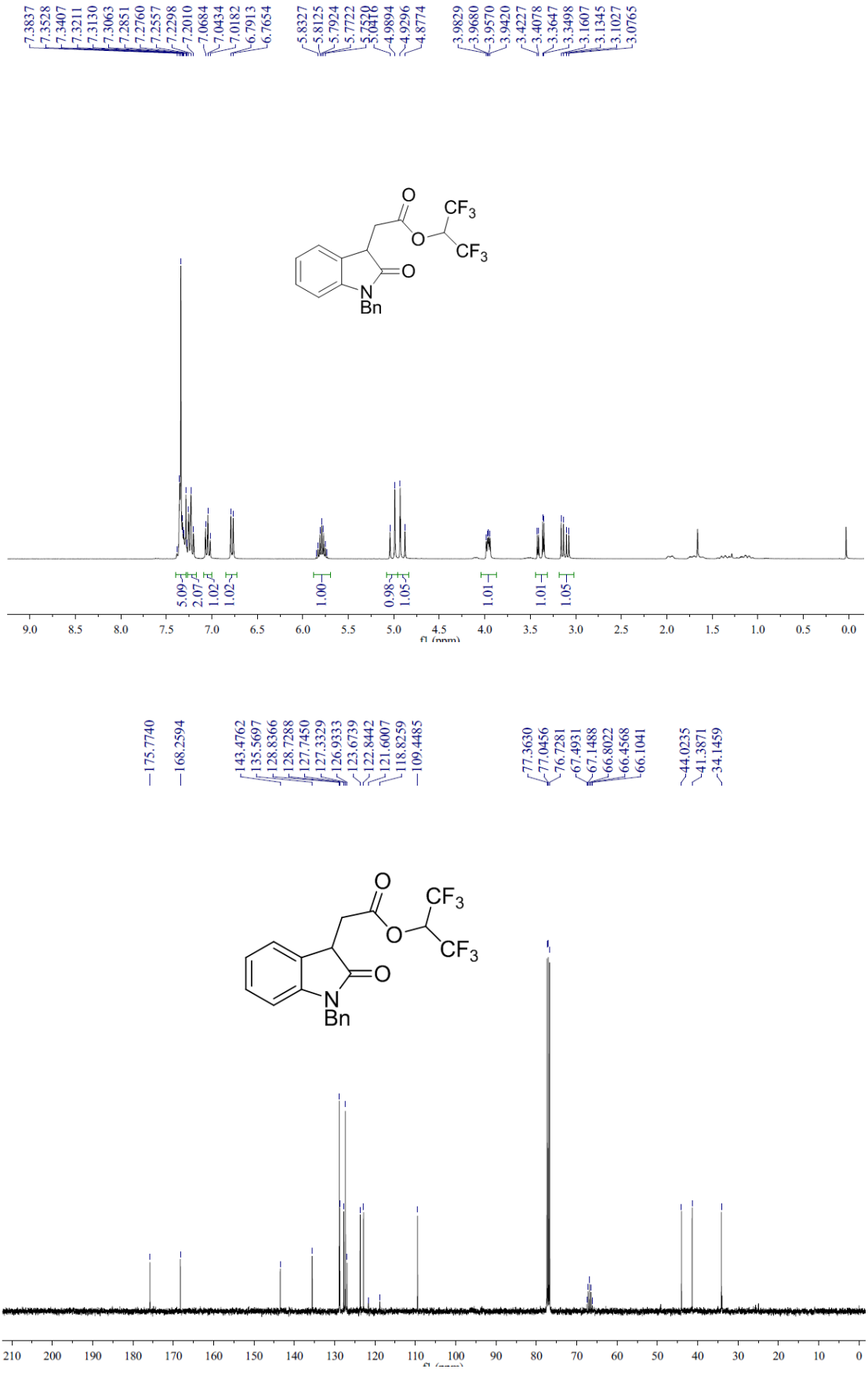
1b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128.8366
128.7288
127.7450
127.3329
126.9333
123.6739
122.8442
121.6007
118.8259
109.4485
77.3630
77.0456
76.7281
67.4931
67.1488
66.8022
66.4568
66.1041
44.0235
41.3871
34.1459
O
CF3
O
CF3
O
N
Bn
210
200
190
180
170
160
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140
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120
110
100
90
80
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50
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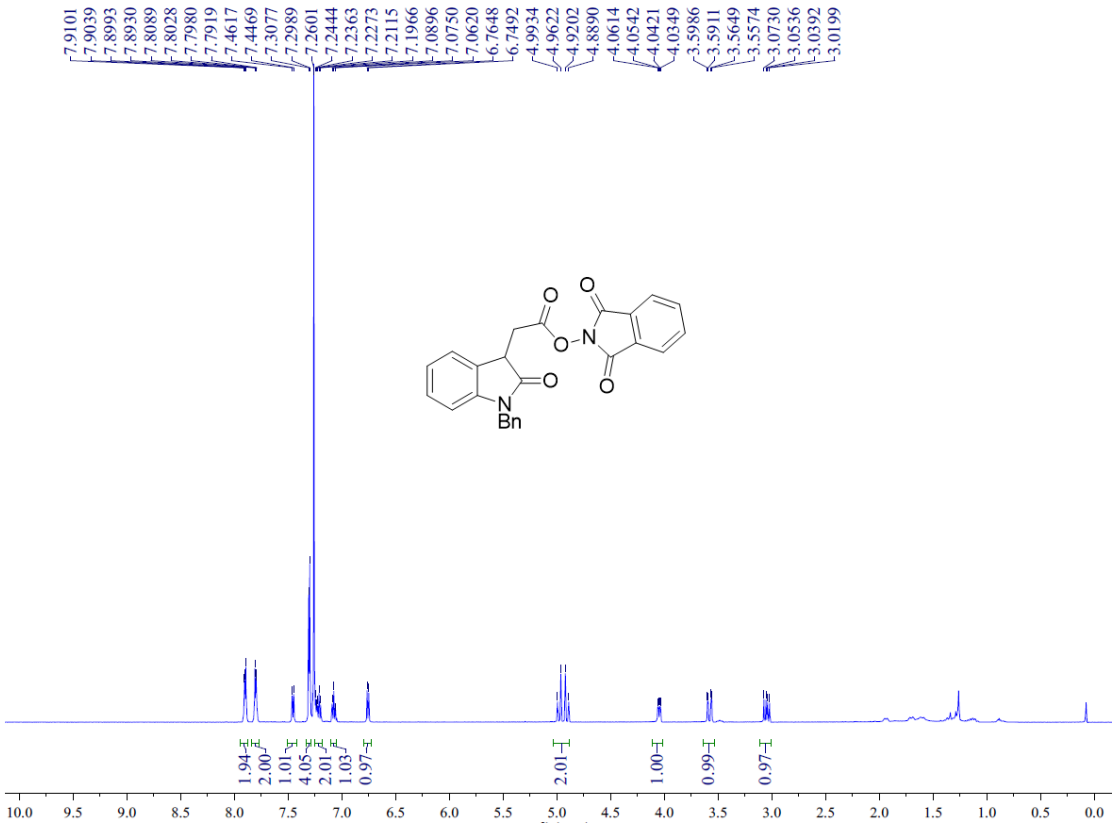
30
20
10
0
f1 (ppm)

1c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7.2989
7.2601
7.2444
7.2363
7.2273
7.2115
7.1966
7.0896
7.0750
7.0620
6.7648
6.7492
4.9934
4.9622
4.9202
4.8890
4.0614
4.0542
4.0421
4.0349
3.5986
3.5911
3.5649
3.5574
3.0730
3.0536
3.0392
3.0199
O
O
O
O
N
O
N
Bn
1.94
2.00
1.01
4.05
2.01
1.03
0.97
2.01
1.00
0.99
0.97
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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4.0
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3.0
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2.0
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1.0
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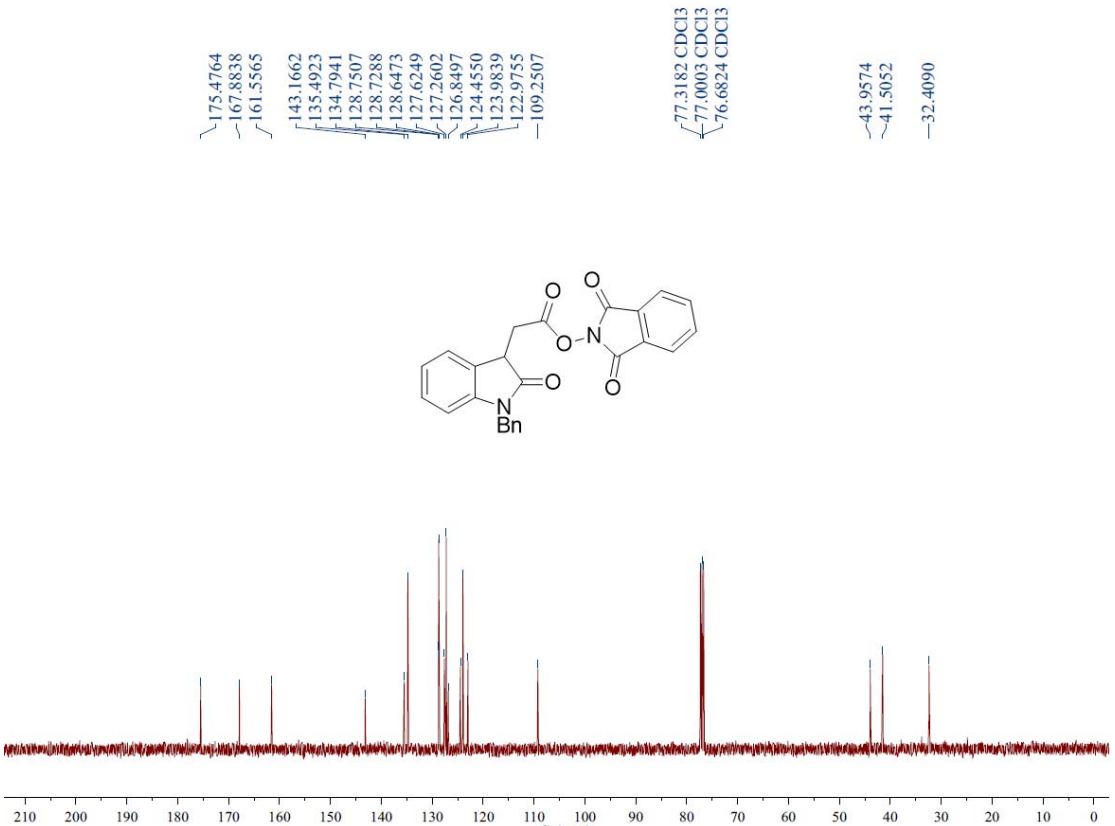
0.0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128.6473
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127.2602
126.8497
124.4550
123.9839
122.9755
109.2507
77.3182 CDCl3
77.0003 CDCl3
76.6824 CDCl3
43.9574
41.5052
32.4090
O
O
O
O
N
O
N
Bn
210
200
190
180
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160
150
140
130
120
110
100
90
80
70
60
50
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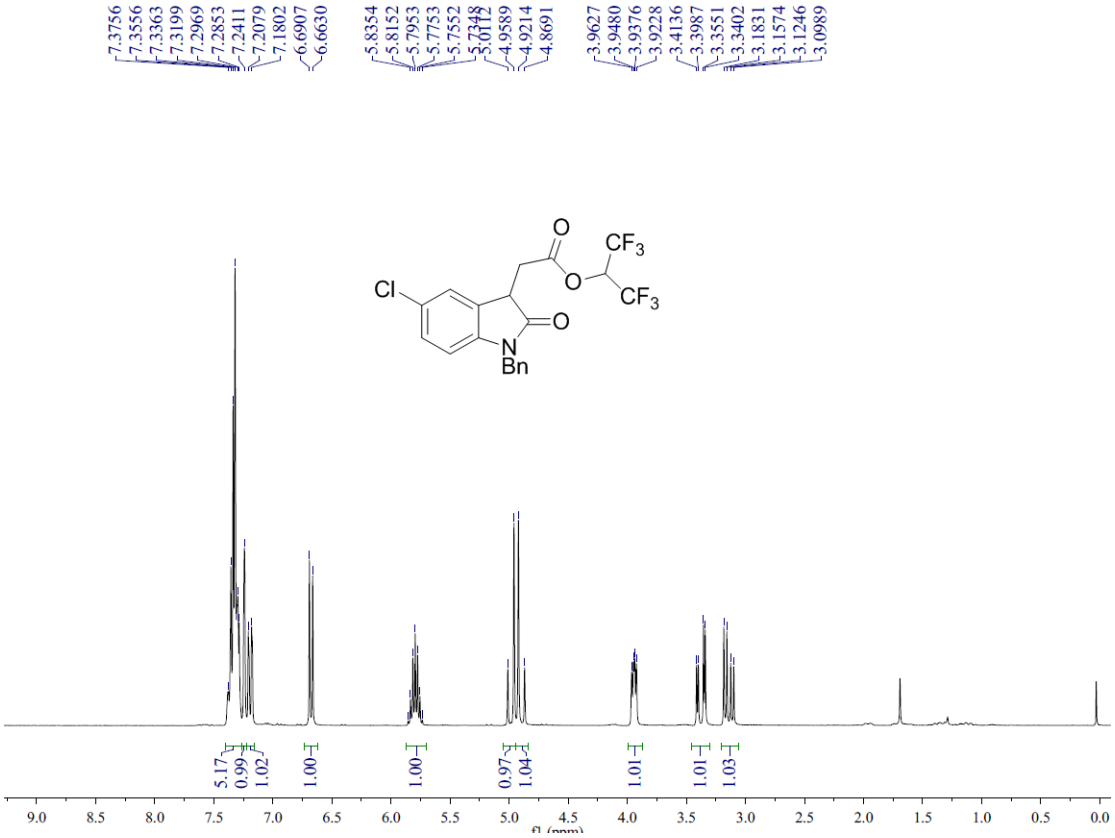
30
20
10
0

1d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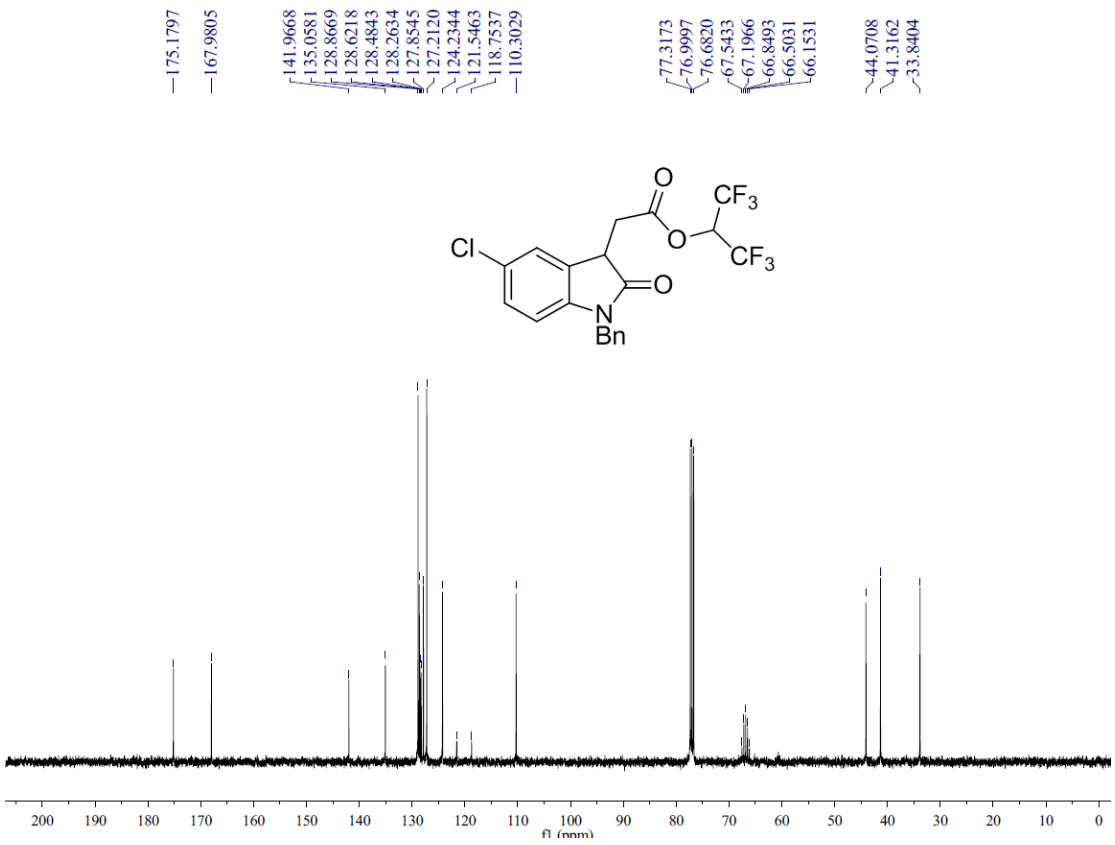
7.1802
6.6907
6.6630
5.8354
5.8152
5.7953
5.7753
5.7552
5.7348
5.0112
4.9589
4.9214
4.8691
3.9627
3.9480
3.9376
3.9228
3.4136
3.3987
3.3551
3.3402
3.1831
3.1574
3.1246
3.0989
O
CF3
Cl
O
O
CF3
N
Bn
5.17
0.99
1.02
1.00
1.00
0.97
1.04
1.01
1.01
1.03
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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118.7537
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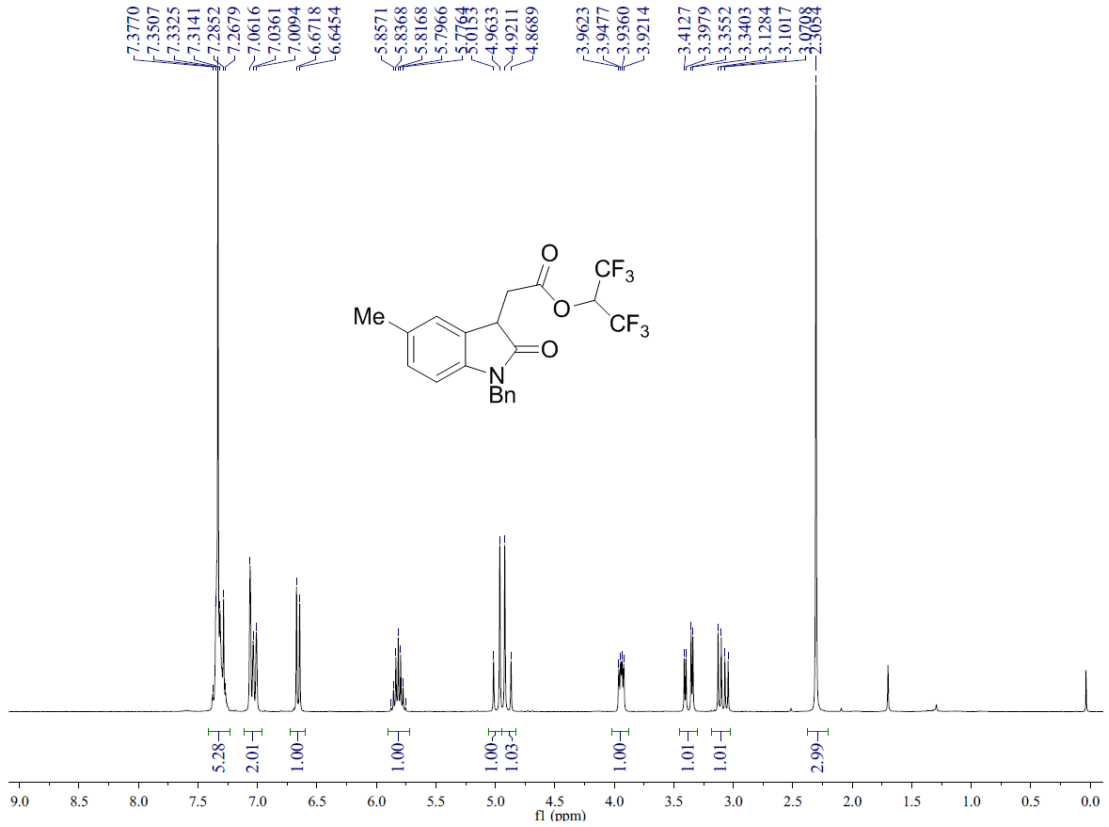
77.3173
76.9997
76.6820
67.5433
67.1966
66.8493
66.5031
66.1531
44.0708
41.3162
33.8404
O
CF3
Cl
O
O
CF3
N
Bn
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1e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7.0094
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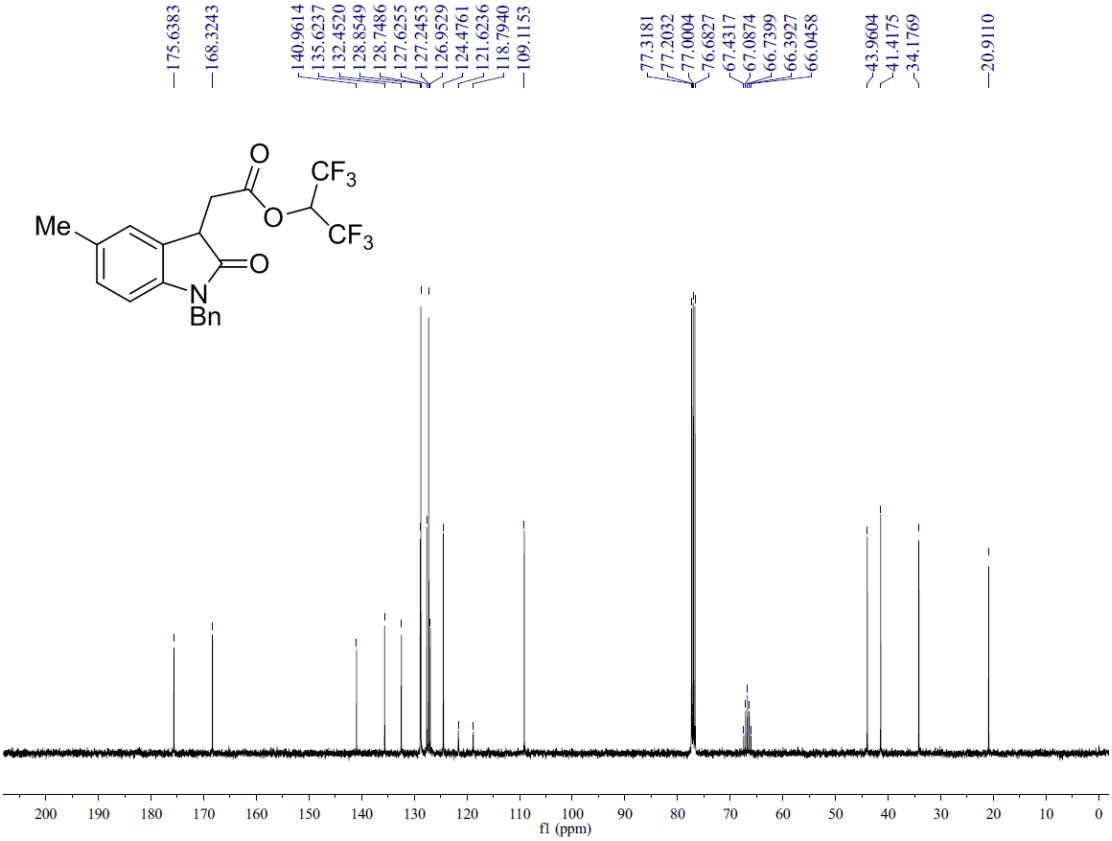
5.8571
5.8368
5.8168
5.7966
5.7764
5.0185
4.9633
4.9211
4.8689
3.9623
3.9477
3.9360
3.9214
3.4127
3.3979
3.3552
3.3403
3.1284
3.1017
3.0708
2.3054
Me
O
O
O
CF3
CF3
N
Bn
5.28
2.01
1.00
1.00
1.00
1.03
1.00
1.01
1.01
2.99
f1 (ppm)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128.7486
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124.4761
121.6236
118.7940
109.1153
77.3181
77.2032
77.0004
76.6827
67.4317
67.0874
66.7399
66.3927
66.0458
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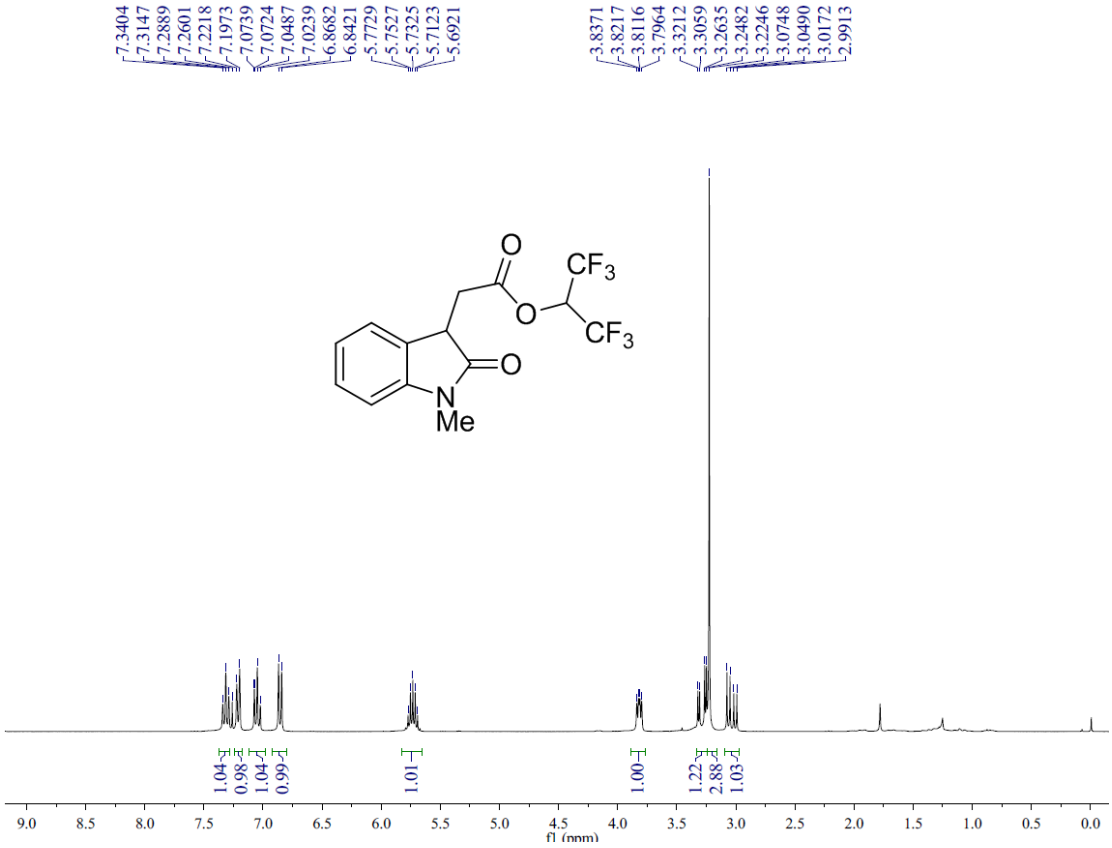
41.4175
34.1769
20.9110
Me
O
O
O
CF3
CF3
N
Bn
f1 (ppm)

1f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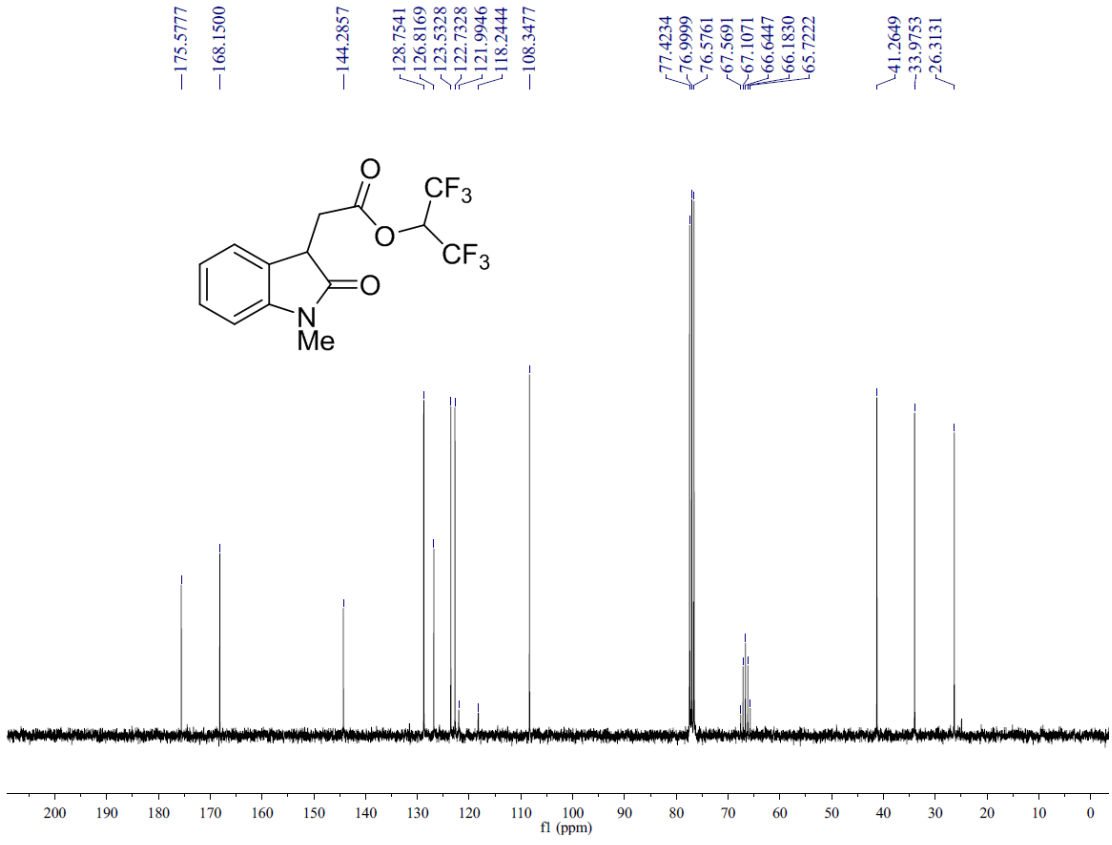
7.2218
7.1973
7.0739
7.0724
7.0487
7.0239
6.8682
6.8421
5.7729
5.7527
5.7325
5.7123
5.6921
3.8371
3.8217
3.8116
3.7964
3.3212
3.3059
3.2635
3.2482
3.2246
3.0748
3.0490
3.0172
2.9913
O
CF3
O
CF3
O
N
Me
1.04
0.98
1.04
0.99
1.01
1.00
1.22
2.88
1.03
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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122.7328
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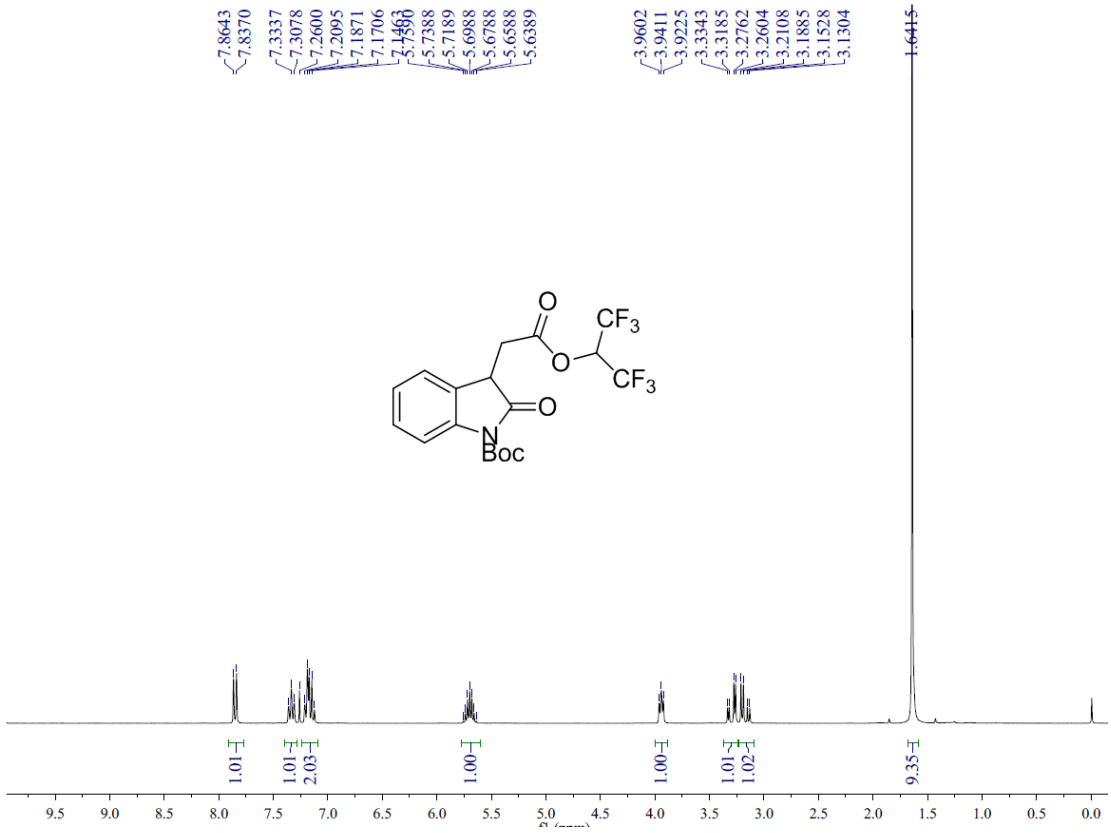
77.4234
76.9999
76.5761
67.5691
67.1071
66.6447
66.1830
65.7222
41.2649
33.9753
26.3131
O
CF3
O
CF3
O
N
Me
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

1g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7.2600
7.2095
7.1871
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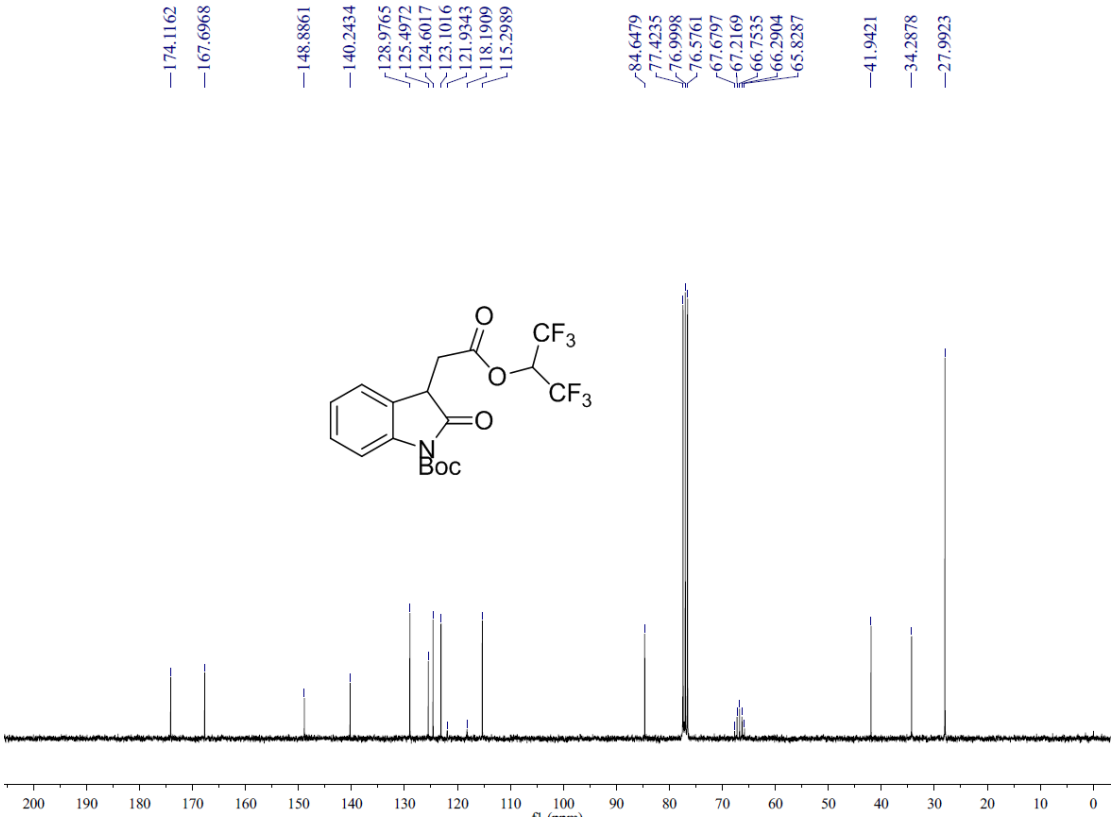
5.7189
5.6988
5.6788
5.6588
5.6389
3.9602
3.9411
3.9225
3.3343
3.3185
3.2762
3.2604
3.2108
3.1885
3.1528
3.1304
1.6415
O
CF3
O
CF3
O
N
Boc
1.01
1.01
2.03
1.00
1.00
1.01
1.02
9.35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124.6017
123.1016
121.9343
118.1909
115.2989
84.6479
77.4235
76.9998
76.5761
67.6797
67.2169
66.7535
66.2904
65.8287
41.9421
34.2878
27.9923
O
CF3
O
CF3
O
N
Boc

1h


8.0614
7.5516
7.5259
7.3172
7.2913
7.2368
7.2329
7.2133
7.2098
7.2045
7.1468
7.1438
7.1237
7.1201
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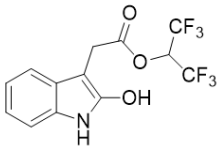
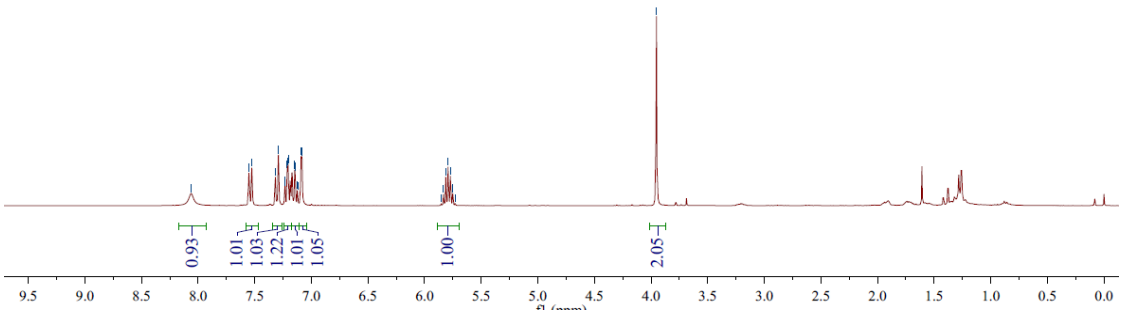
5.8534
5.8331
5.8127
5.7923
5.7719
5.7515
5.7305
3.9521


O
CF3
O
CF3
OH
N
H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1.03
1.22
1.01
1.05
1.00
2.05
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


168.8311
136.0155
126.7432
123.3545
122.4549
121.8273
119.9407
119.0298
118.3508
111.3095
106.1019
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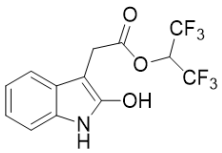
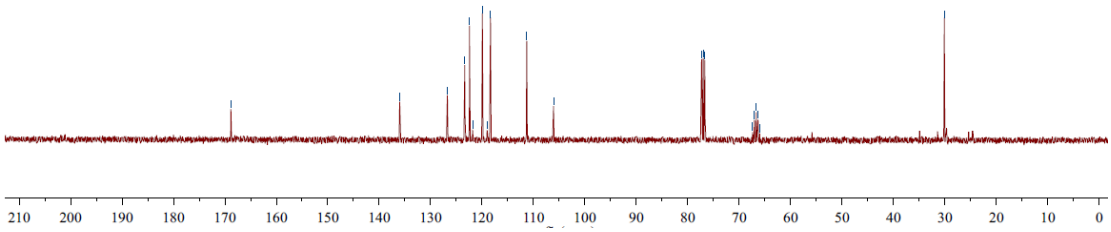
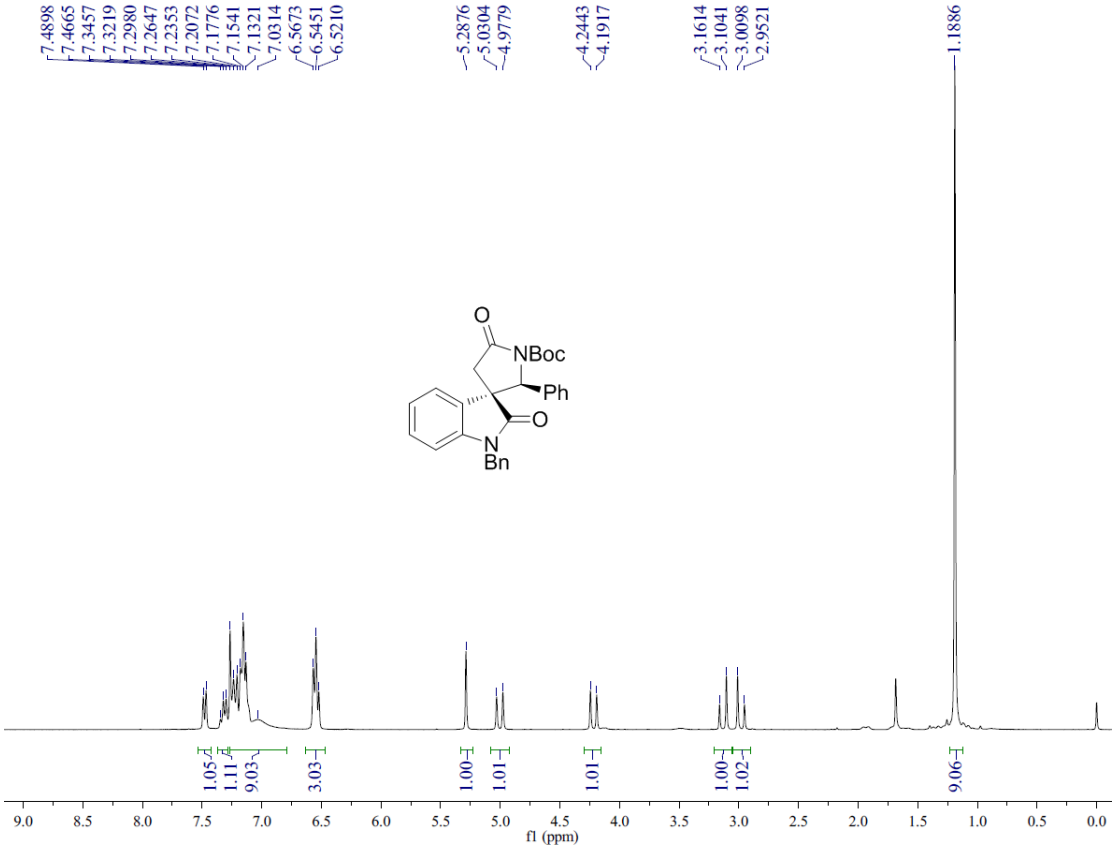
76.9995
76.6819
67.3924
67.0463
66.7014
66.3566
66.0126
30.1234


O
CF3
O
CF3
OH
N
H


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0

3a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7.3457
7.3219
7.2980
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7.2353
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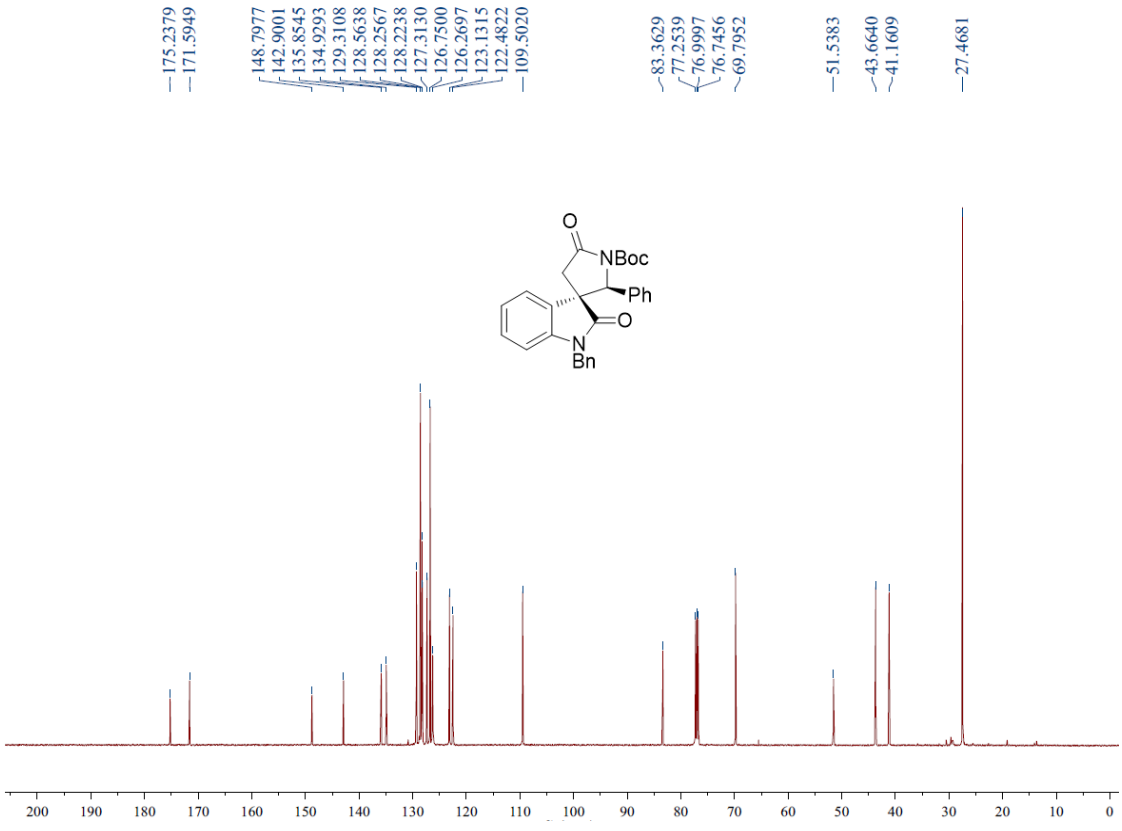
7.1776
7.1541
7.1321
7.0314
6.5673
6.5451
6.5210
5.2876
5.0304
4.9779
4.2443
4.1917
3.1614
3.1041
3.0098
2.9521
1.1886
O
NBoc
Ph
O
N
Bn
1.05
1.11
9.03
3.03
1.00
1.01
1.01
1.00
1.02
9.06
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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129.3108
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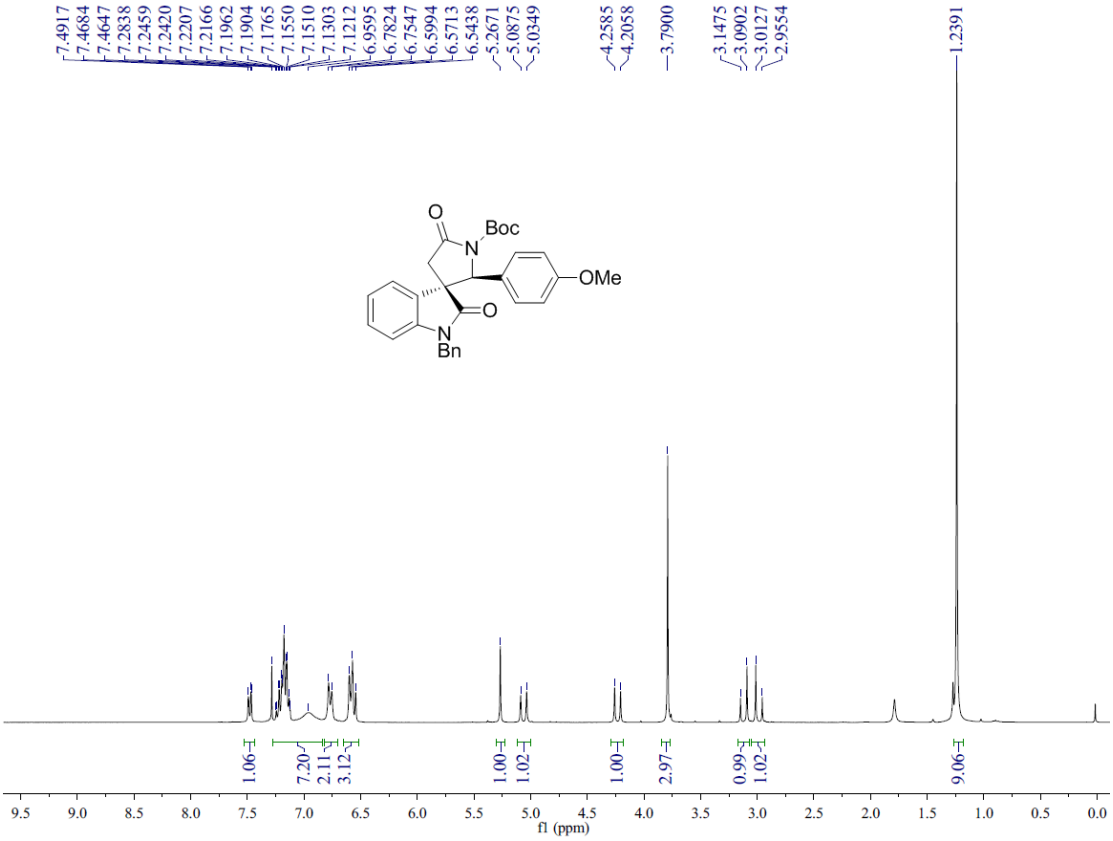
128.2567
128.2238
127.3130
126.7500
126.2697
123.1315
122.4822
109.5020
83.3629
77.2539
76.9997
76.7456
69.7952
51.5383
43.6640
41.1609
27.4681
O
NBoc
Ph
O
N
Bn
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

3b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7.2207
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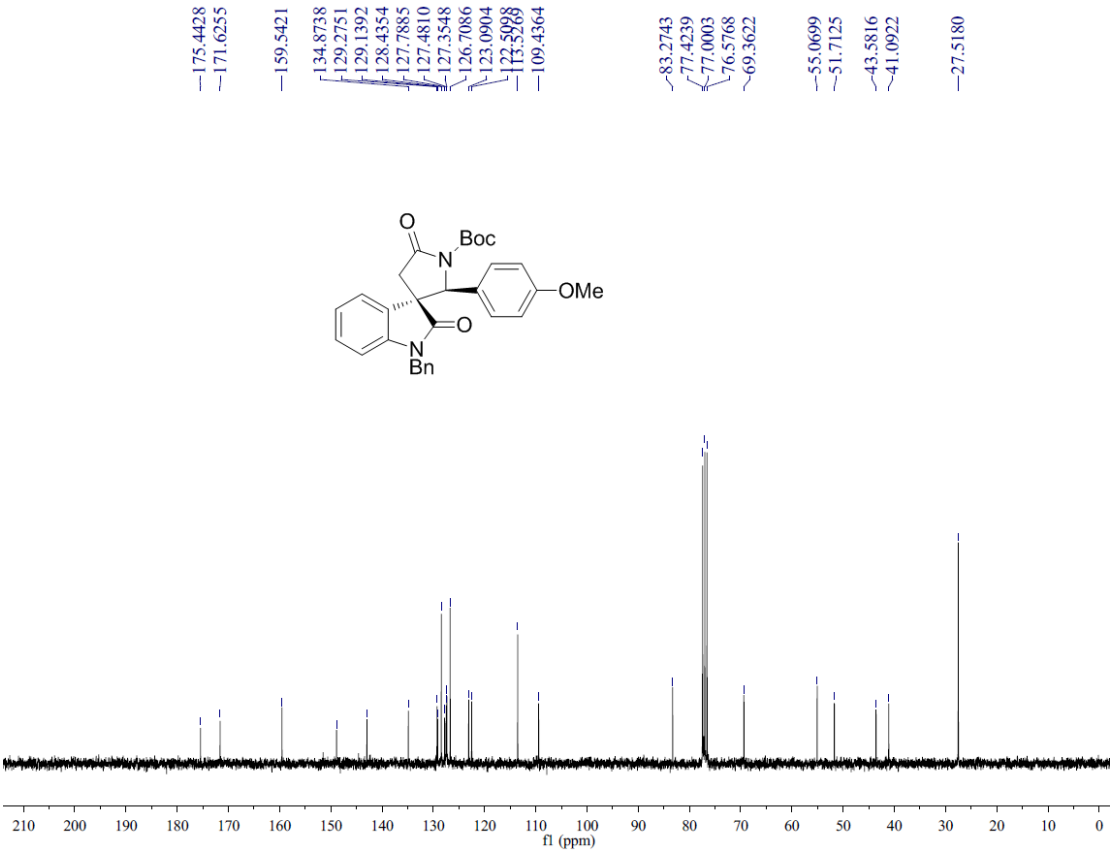
7.1962
7.1904
7.1765
7.1550
7.1510
7.1303
7.1212
6.9595
6.7824
6.7547
6.5994
6.5713
6.5438
5.2671
5.0875
5.0349
4.2585
4.2058
3.7900
3.1475
3.0902
3.0127
2.9554
1.2391
Boc
N
O
OMe
N
Bn
1.06
7.20
2.11
3.12
1.00
1.02
1.00
2.97
0.99
1.02
9.06
fl (ppm)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128.4354
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126.7086
123.0904
122.5098
113.5289
109.4364
83.2743
77.4239
77.0003
76.5768
69.3622
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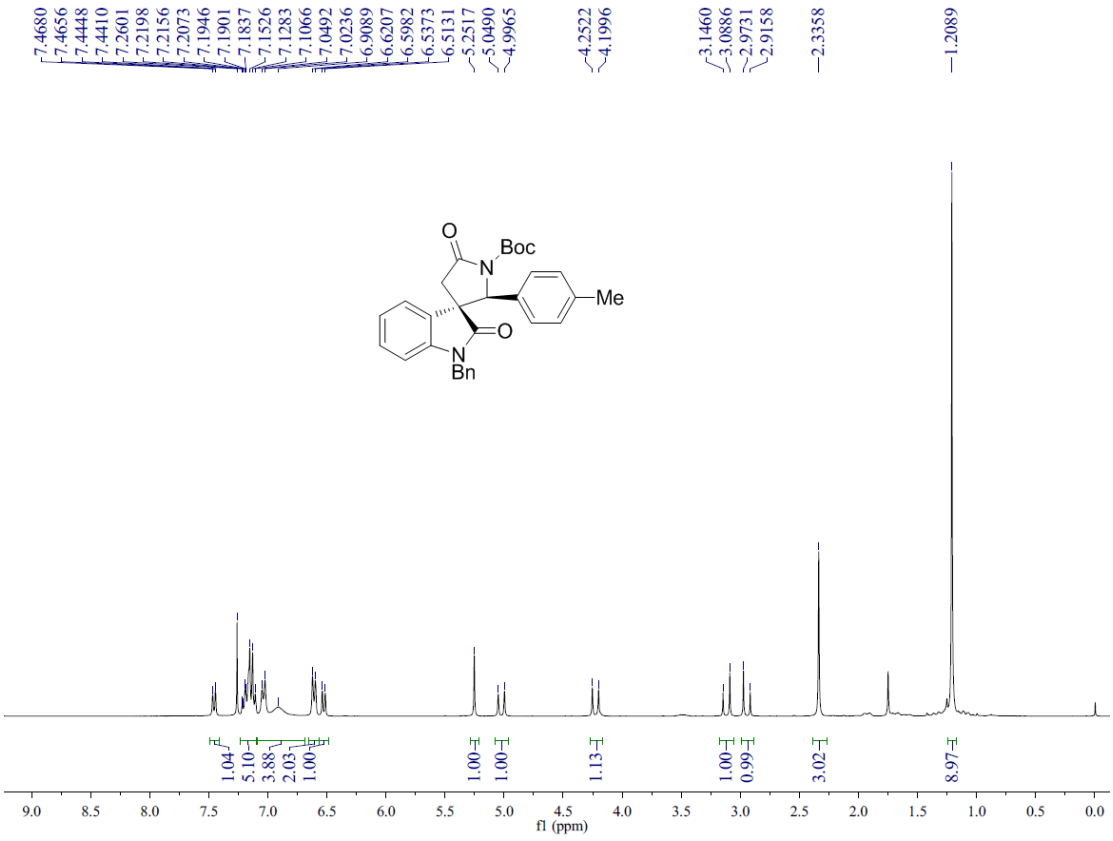
43.5816
41.0922
27.5180
Boc
N
O
OMe
N
Bn
fl (ppm)

3c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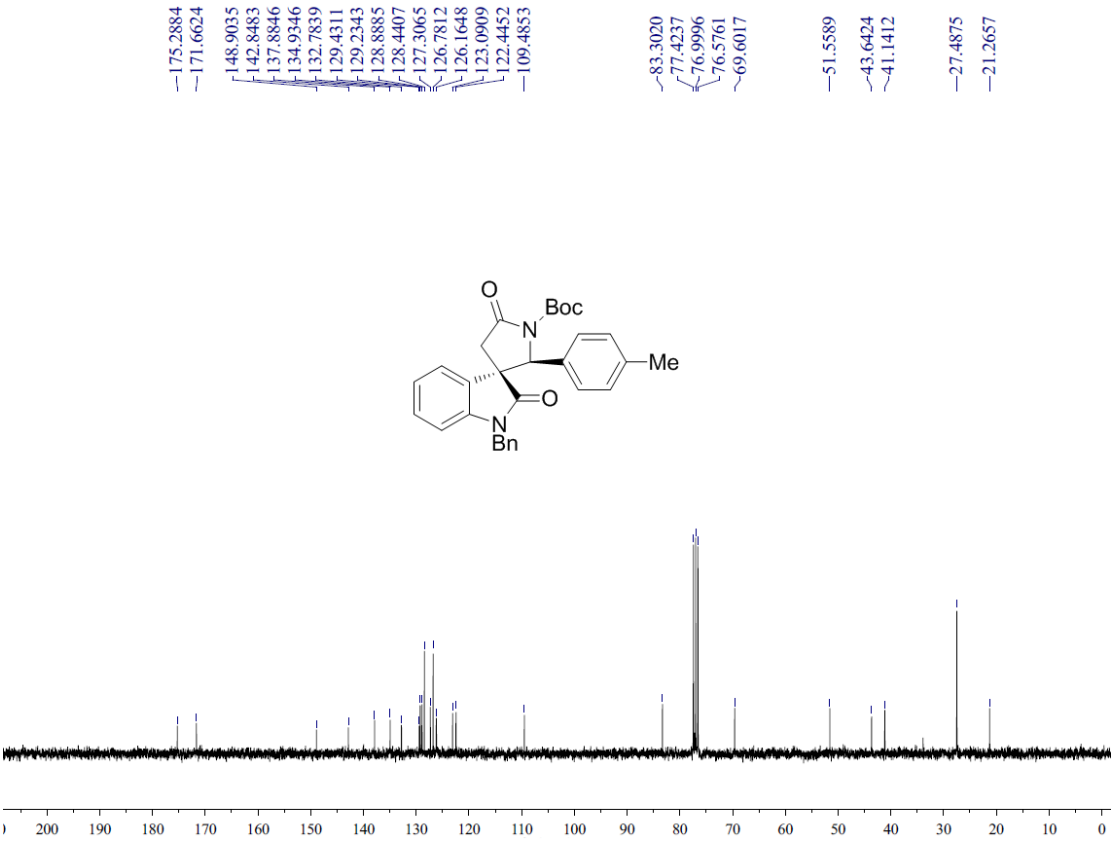
7.1837
7.1526
7.1283
7.1066
7.0492
7.0236
6.9089
6.6207
6.5982
6.5373
6.5131
5.2517
5.0490
4.9965
4.2522
4.1996
3.1460
3.0886
2.9731
2.9158
2.3358
1.2089
O
Boc
N
Me
O
N
Bn
1.04
5.10
3.88
2.03
1.00
1.00
1.00
1.13
1.00
0.99
3.02
8.97
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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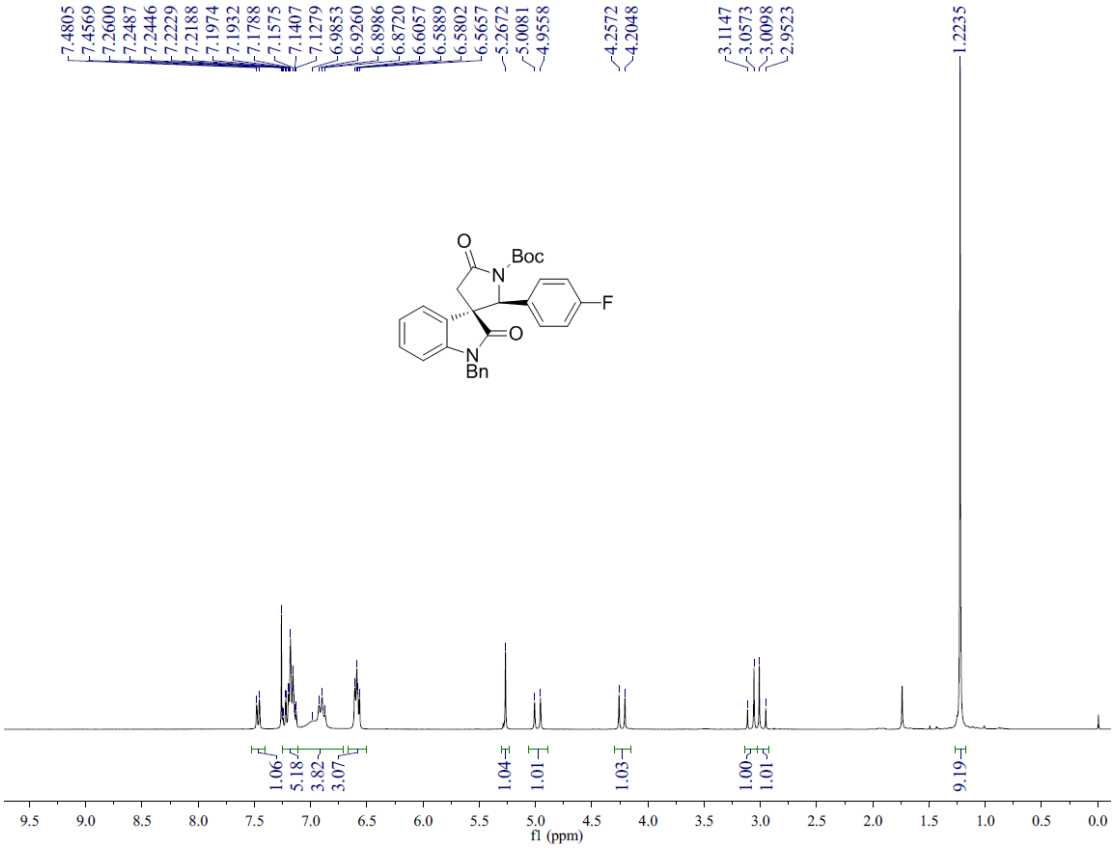
128.4407
127.3065
126.7812
126.1648
123.0909
122.4452
109.4853
83.3020
77.4237
76.9996
76.5761
69.6017
51.5589
43.6424
41.1412
27.4875
21.2657
O
Boc
N
Me
O
N
Bn
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

3d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7.1279
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6.8720
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6.5889
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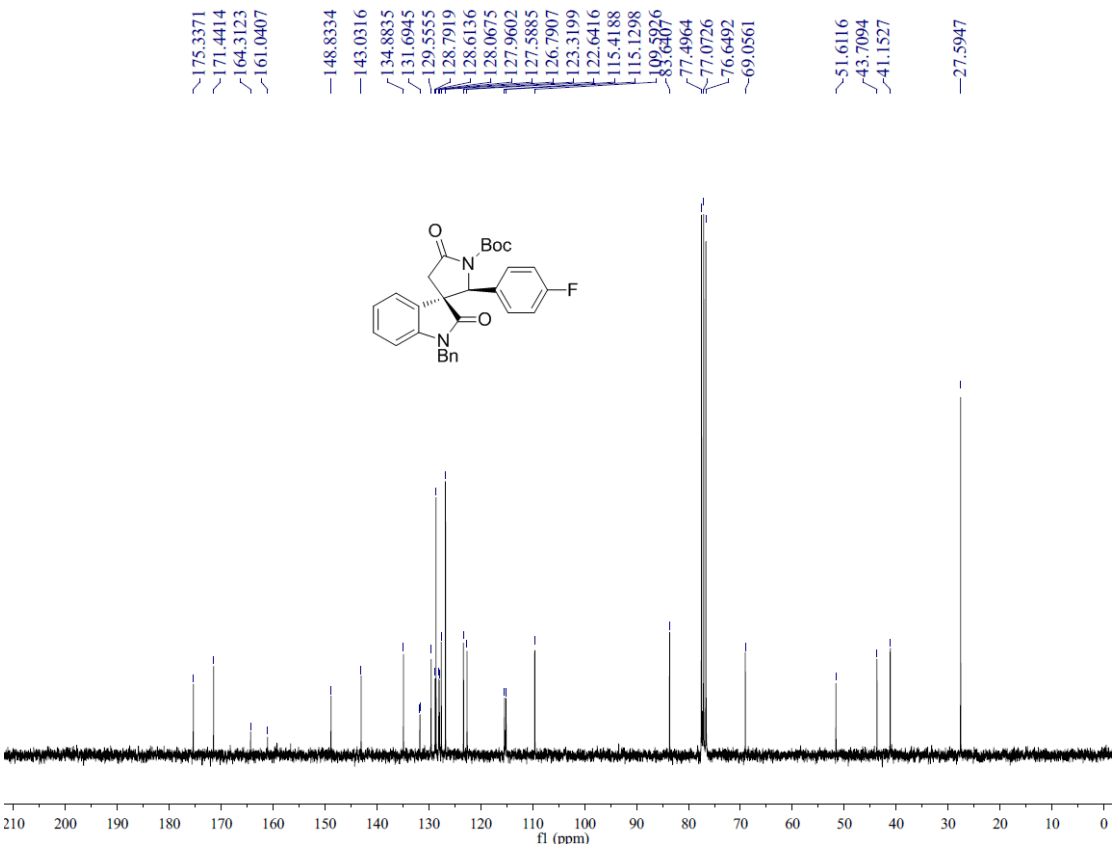
6.5657
5.2672
5.0081
4.9558
4.2572
4.2048
3.1147
3.0573
3.0098
2.9523
1.2235
Boc
N
O
F
O
N
Bn
1.06
5.18
3.82
3.07
1.04
1.01
1.03
1.00
1.01
9.19
fl (ppm)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127.5885
126.7907
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77.0726
76.6492
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Boc
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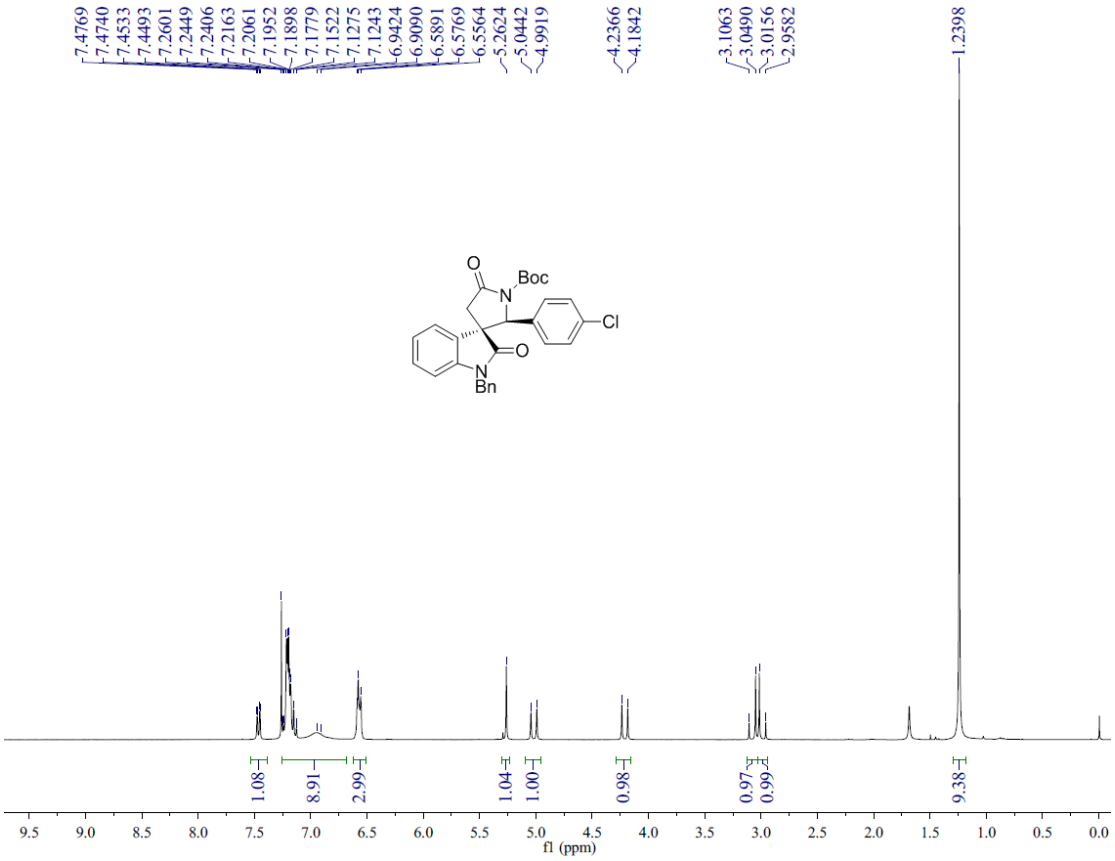
F
O
N
Bn
fl (ppm)

3e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7.1898
7.1779
7.1522
7.1275
7.1243
6.9424
6.9090
6.5891
6.5769
6.5564
5.2624
5.0442
4.9919
4.2366
4.1842
3.1063
3.0490
3.0156
2.9582
1.2398
O
N
Boc
Cl
O
N
Bn
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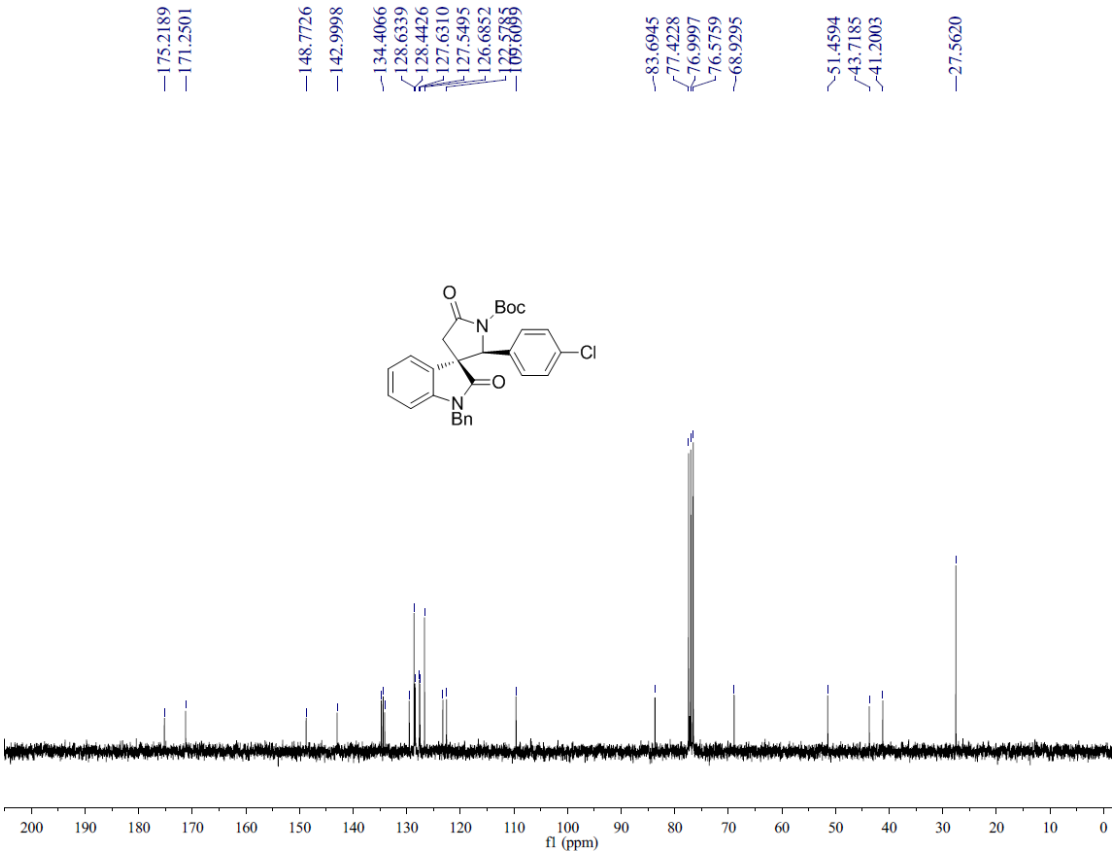
8.91
2.99
1.04
1.00
0.98
0.97
0.99
9.38
fl (ppm)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83.6945
77.4228
76.9997
76.5759
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43.7185
41.2003
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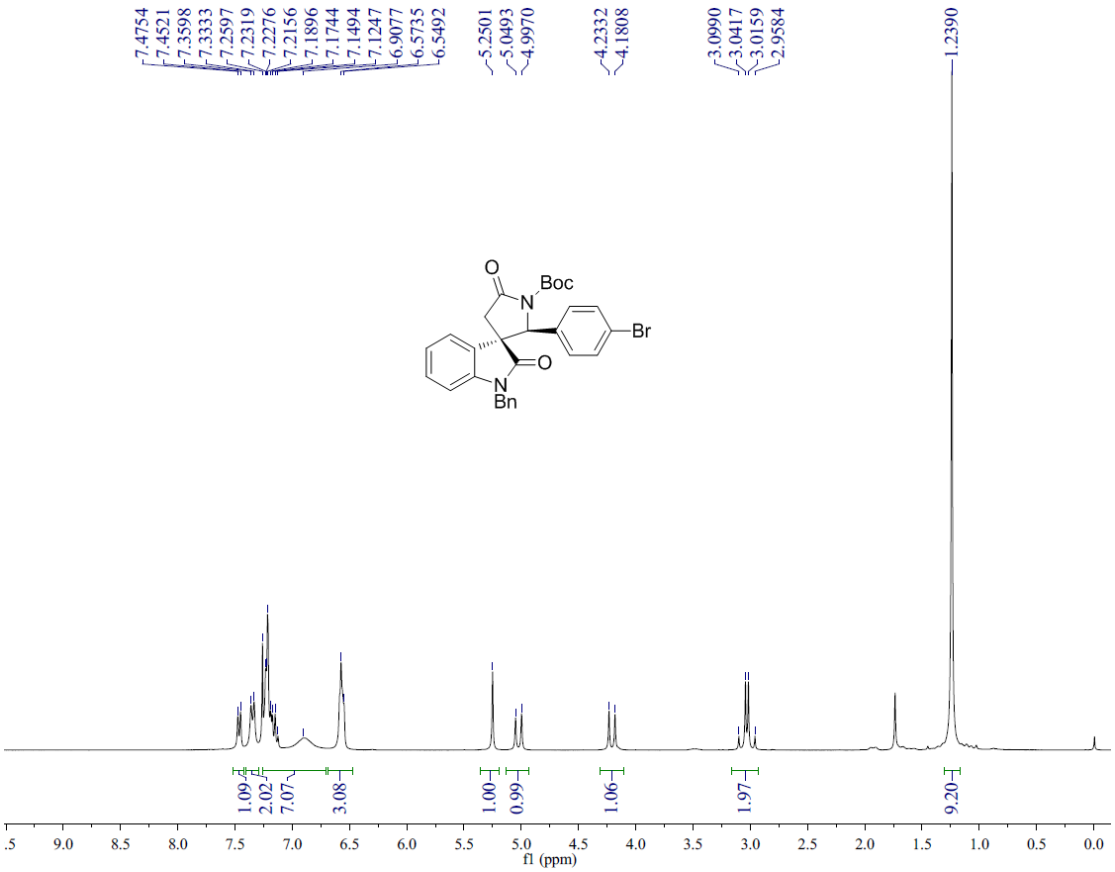
Boc
Cl
O
N
Bn
fl (ppm)

3f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7.1247
6.9077
6.5735
6.5492
5.2501
5.0493
4.9970
4.2332
4.1808
3.0990
3.0417
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2.9584
1.2390
O
N
Boc
Br
O
N
Bn
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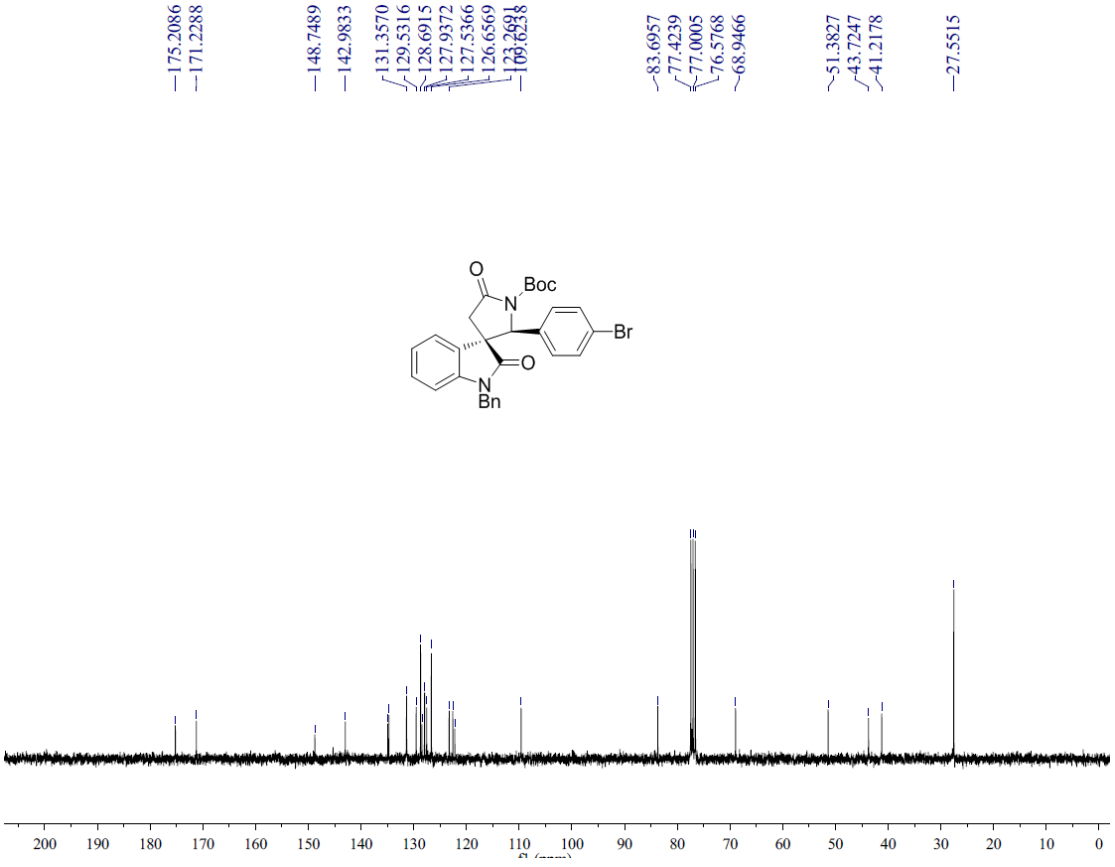
2.02
7.07
3.08
1.00
0.99
1.06
1.97
9.20
fl (ppm)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77.4239
77.0005
76.5768
68.9466
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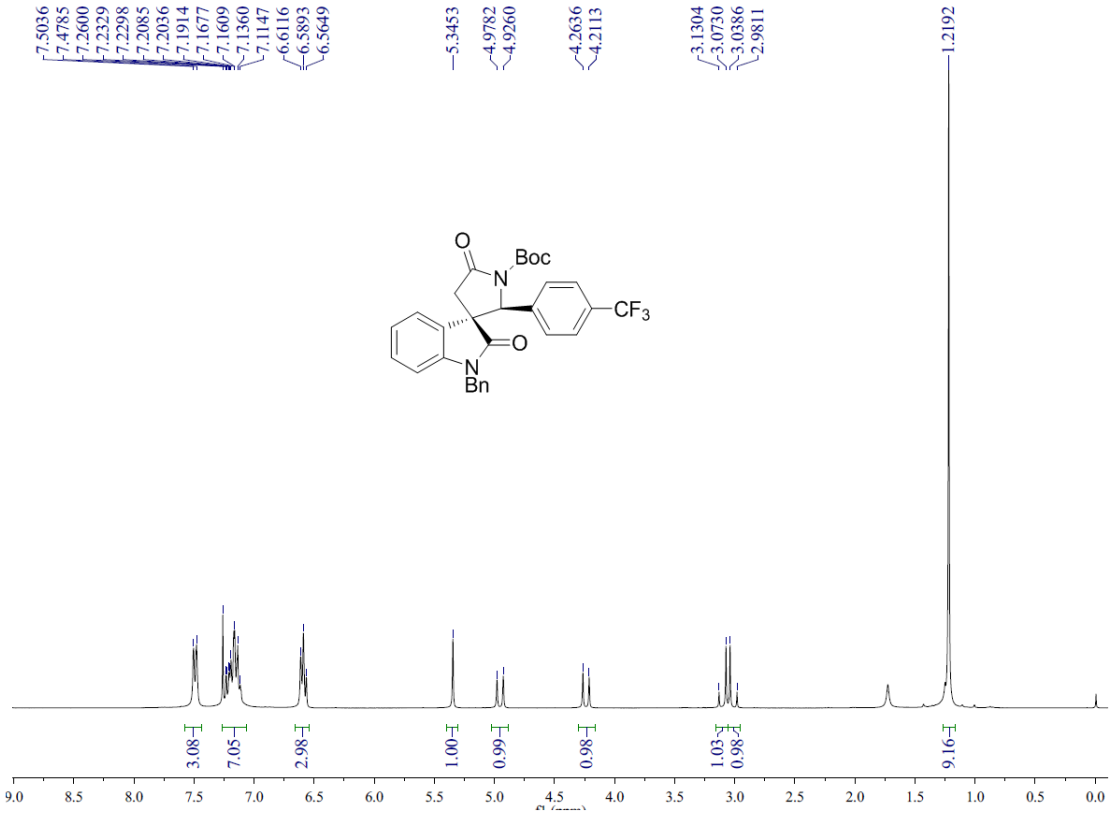
41.2178
27.5515
O
N
Boc
Br
O
N
Bn
fl (ppm)

3g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7.1360
7.1147
6.6116
6.5893
6.5649
5.3453
4.9782
4.9260
4.2636
4.2113
3.1304
3.0730
3.0386
2.9811
1.2192
O
N
Boc
CF3
O
N
Bn
3.08
7.05
2.98
1.00
0.99
0.98
1.03
0.98
9.16
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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4.0
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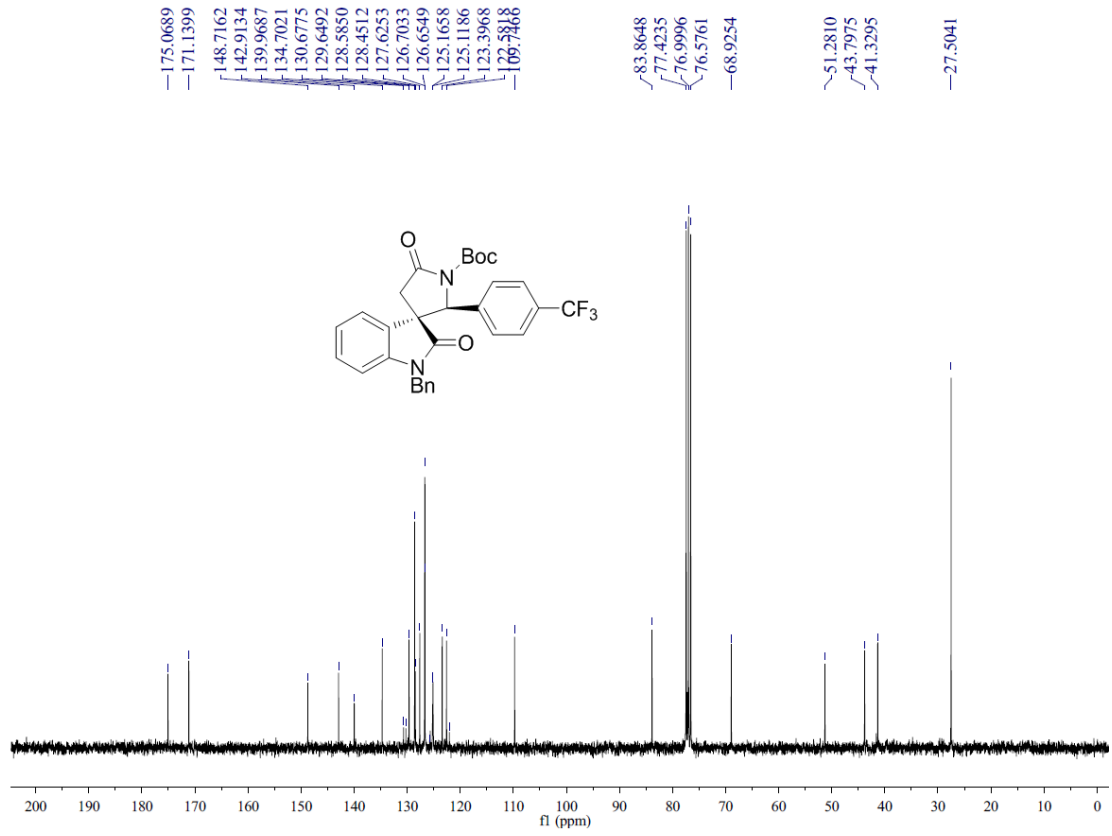
0.0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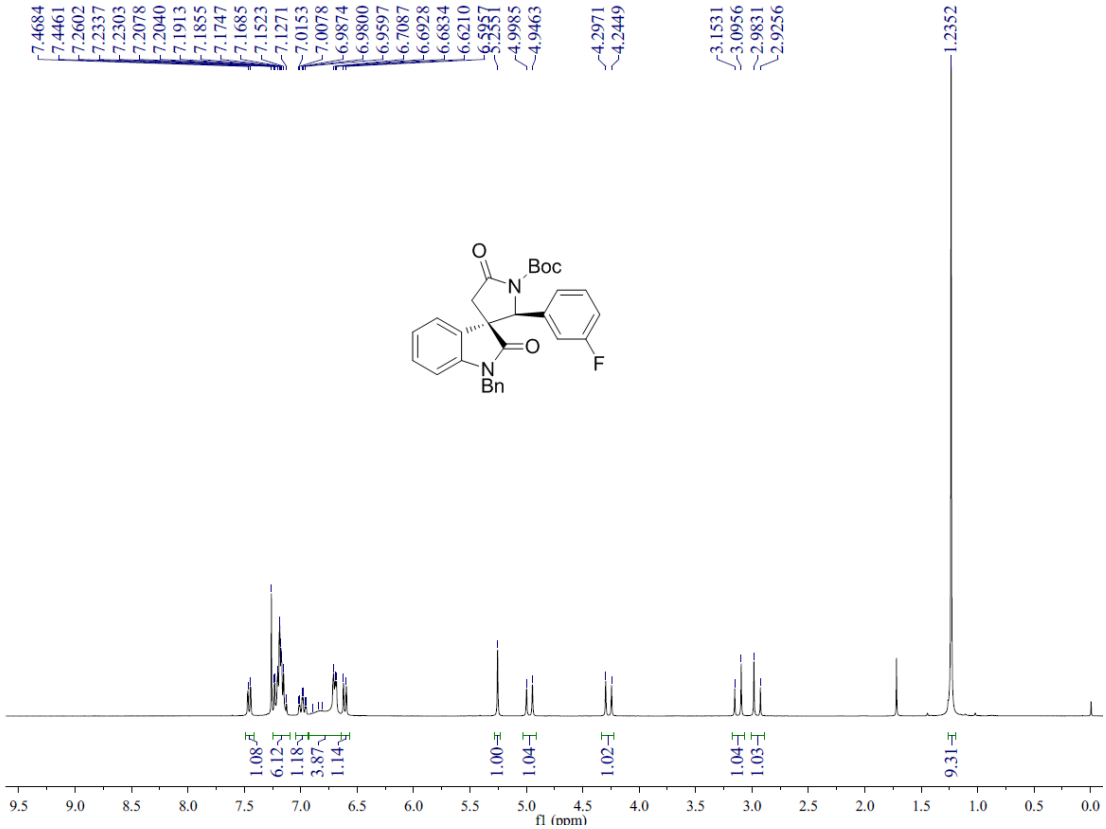
125.1658
125.1186
123.3968
83.8648
77.4235
76.9996
76.5761
68.9254
51.2810
43.7975
41.3295
27.5041
O
N
Boc
CF3
O
N
Bn
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

3h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7.2078
7.2040
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7.1855
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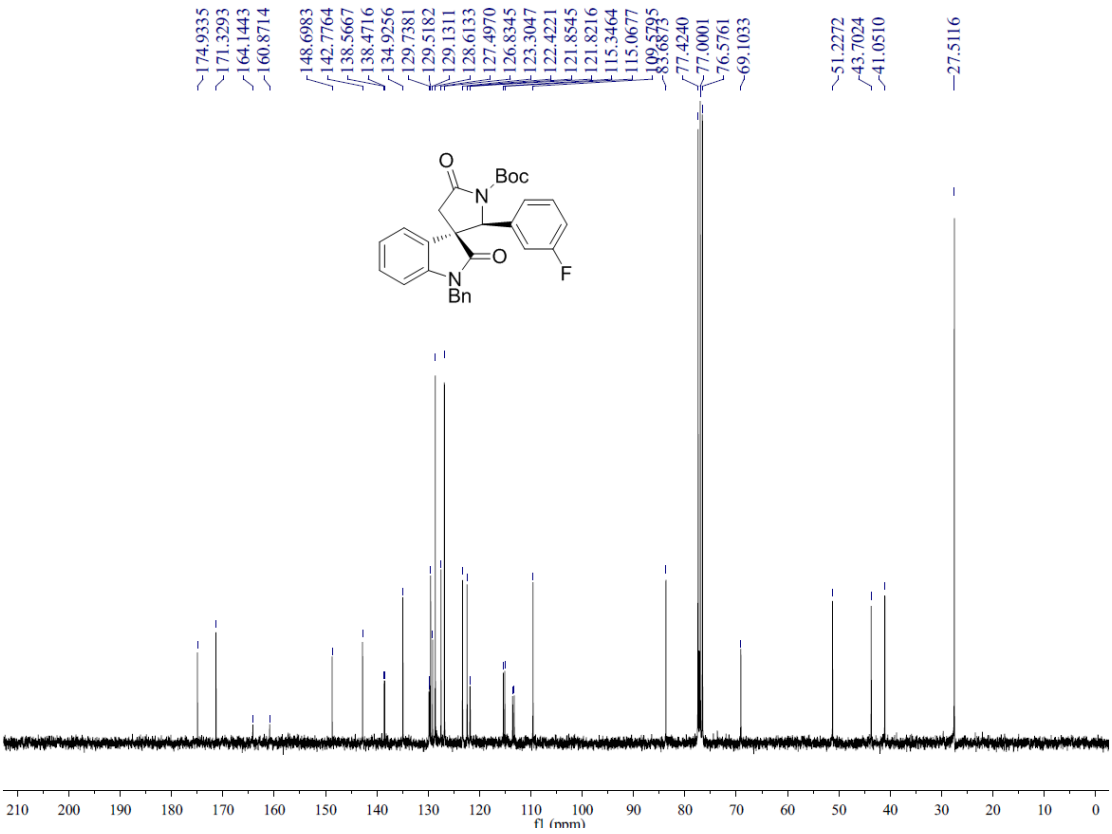
7.1685
7.1523
7.1271
7.0153
7.0078
6.9874
6.9800
6.9597
6.7087
6.6928
6.6834
6.6210
5.2351
4.9985
4.9463
4.2971
4.2449
3.1531
3.0956
2.9831
2.9256
1.2352
Boc
N
O
O
N
Bn
F
1.08
6.12
1.18
3.87
1.14
1.00
1.04
1.02
1.04
1.03
9.31
f1 (ppm)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129.1311
128.6133
127.4970
126.8345
123.3047
122.4221
121.8545
121.8216
115.3464
115.0677
109.5795
83.6873
77.4240
77.0001
76.5761
69.1033
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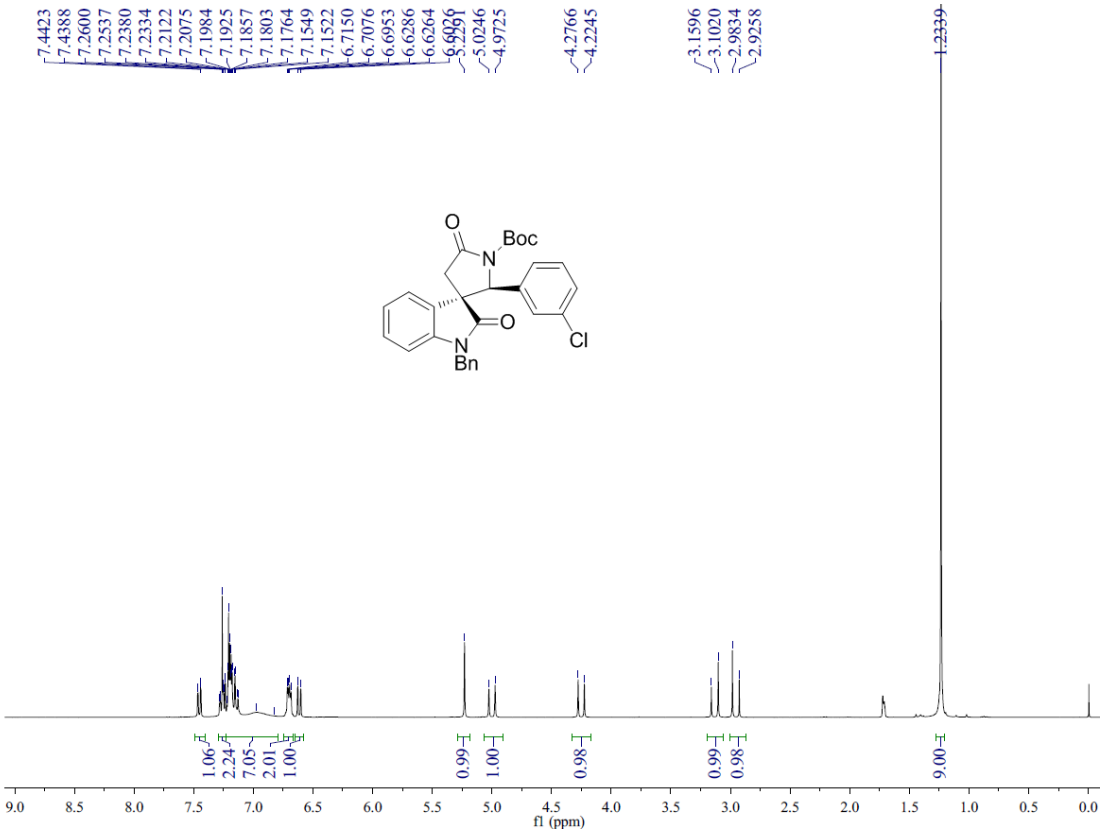
27.5116
Boc
N
O
O
N
Bn
F
f1 (ppm)

3i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7.2075
7.1984
7.1925
7.1857
7.1803
7.1764
7.1549
7.1522
7.1150
6.7076
6.6953
6.6286
6.6264
5.0246
4.9725
4.2766
4.2245
3.1596
3.1020
2.9834
2.9258
1.2339
O
Boc
N
O
N
Bn
Cl
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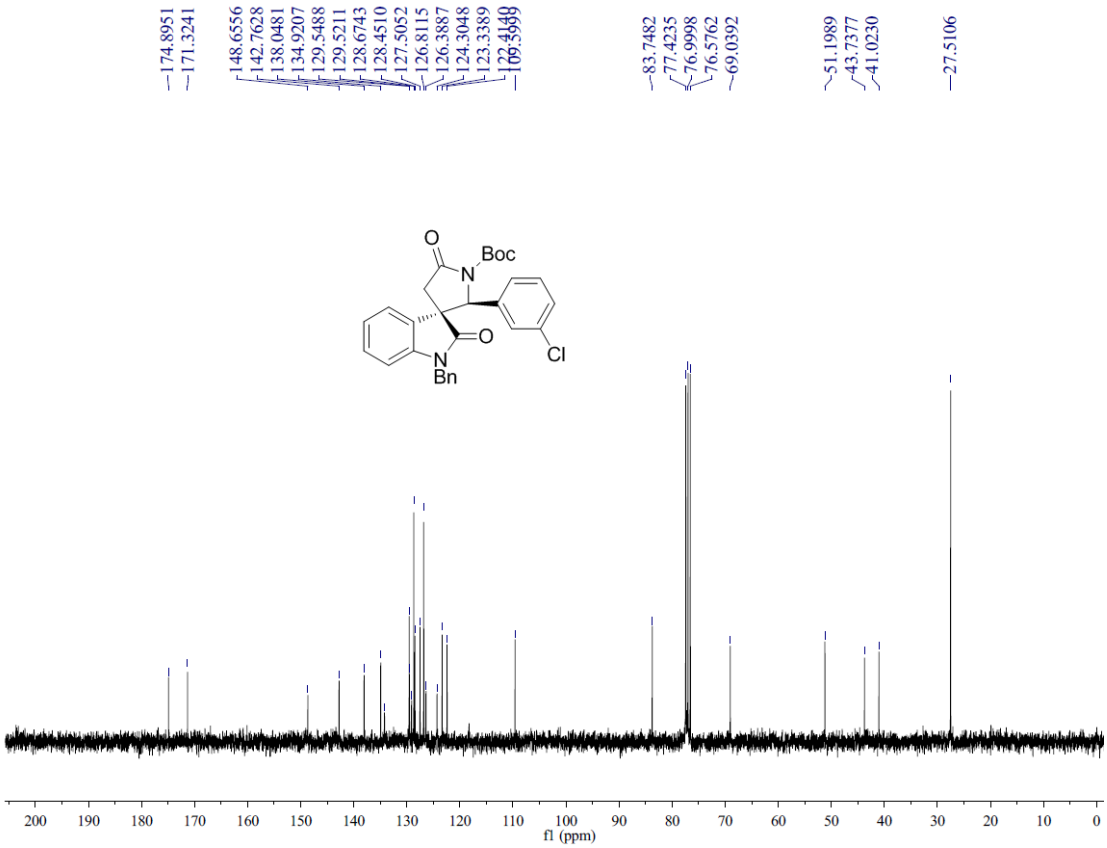
2.24
7.05
2.01
1.00
0.99
1.00
0.98
0.99
0.98
9.00
f1 (ppm)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126.8115
126.3887
124.3048
123.3389
83.7482
77.4235
76.9998
76.5762
69.0392
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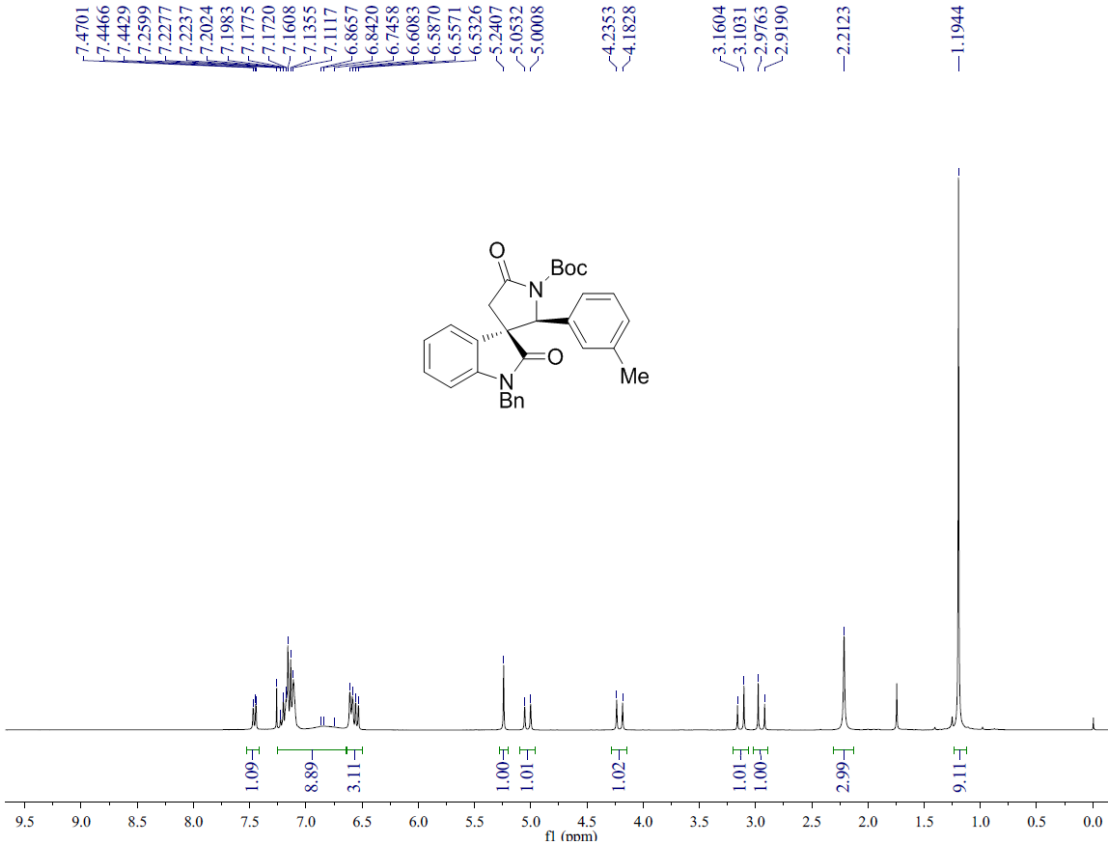
41.0230
27.5106
O
Boc
N
O
N
Bn
Cl
f1 (ppm)

3j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7.1775
7.1720
7.1608
7.1355
7.1117
6.8657
6.8420
6.7458
6.6083
6.5870
6.5571
6.5326
5.2407
5.0532
5.0008
4.2353
4.1828
3.1604
3.1031
2.9763
2.9190
2.2123
1.1944
O
Boc
N
O
N
Bn
Me
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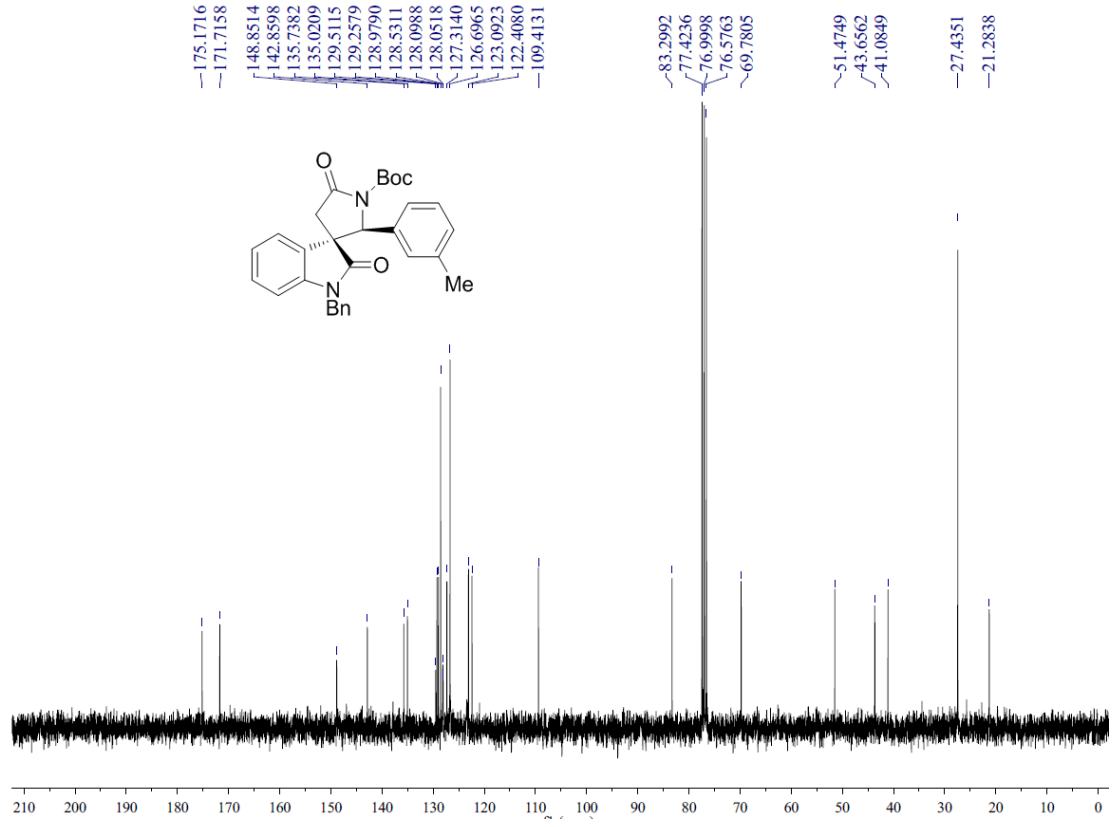
3.11
1.00
1.01
1.02
1.01
1.00
2.99
9.11
f1 (ppm)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129.2579
128.9790
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128.0988
128.0518
127.3140
126.6965
123.0923
122.4080
109.4131
83.2992
77.4236
76.9998
76.5763
69.7805
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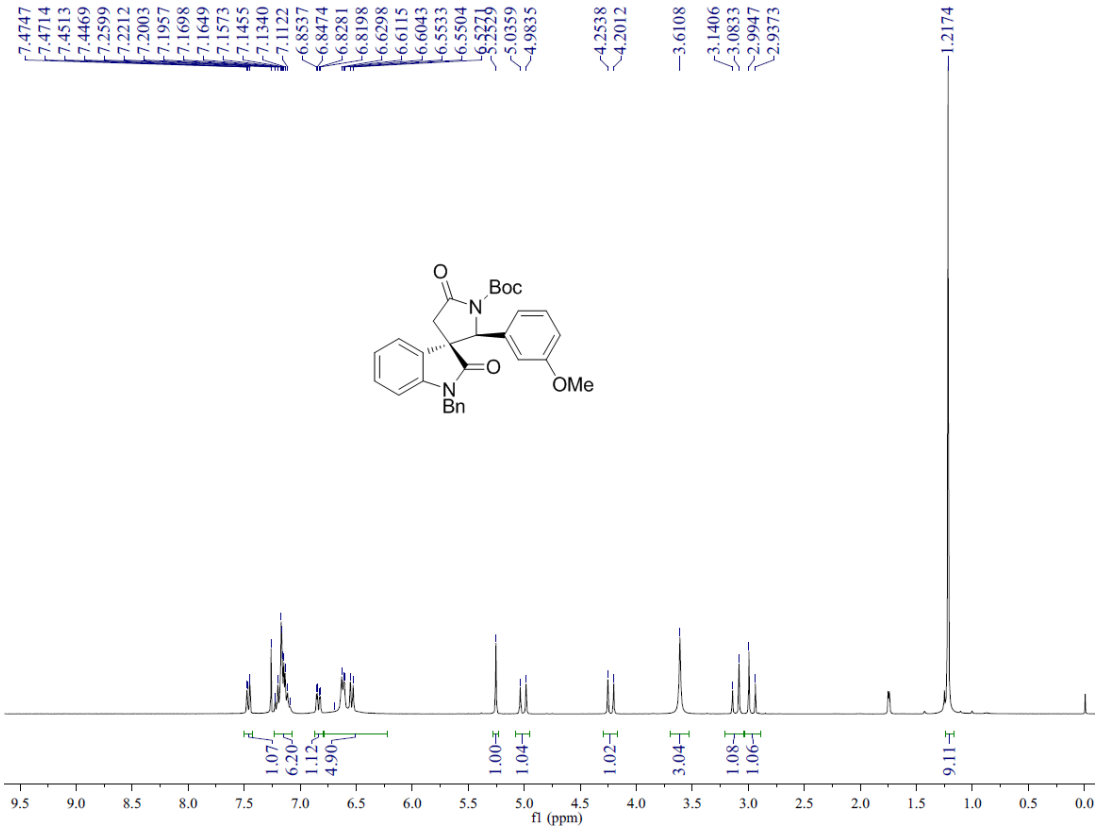
41.0849
27.4351
21.2838
O
Boc
N
O
N
Bn
Me

3k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7.1573
7.1455
7.1340
7.1122
6.8537
6.8474
6.8281
6.8198
6.6298
6.6115
6.6043
6.5533
6.5504
6.5271
5.2529
5.0359
4.9835
4.2538
4.2012
3.6108
3.1406
3.0833
2.9947
2.9373
1.2174
Boc
N
O
O
N
Bn
OMe
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4.90
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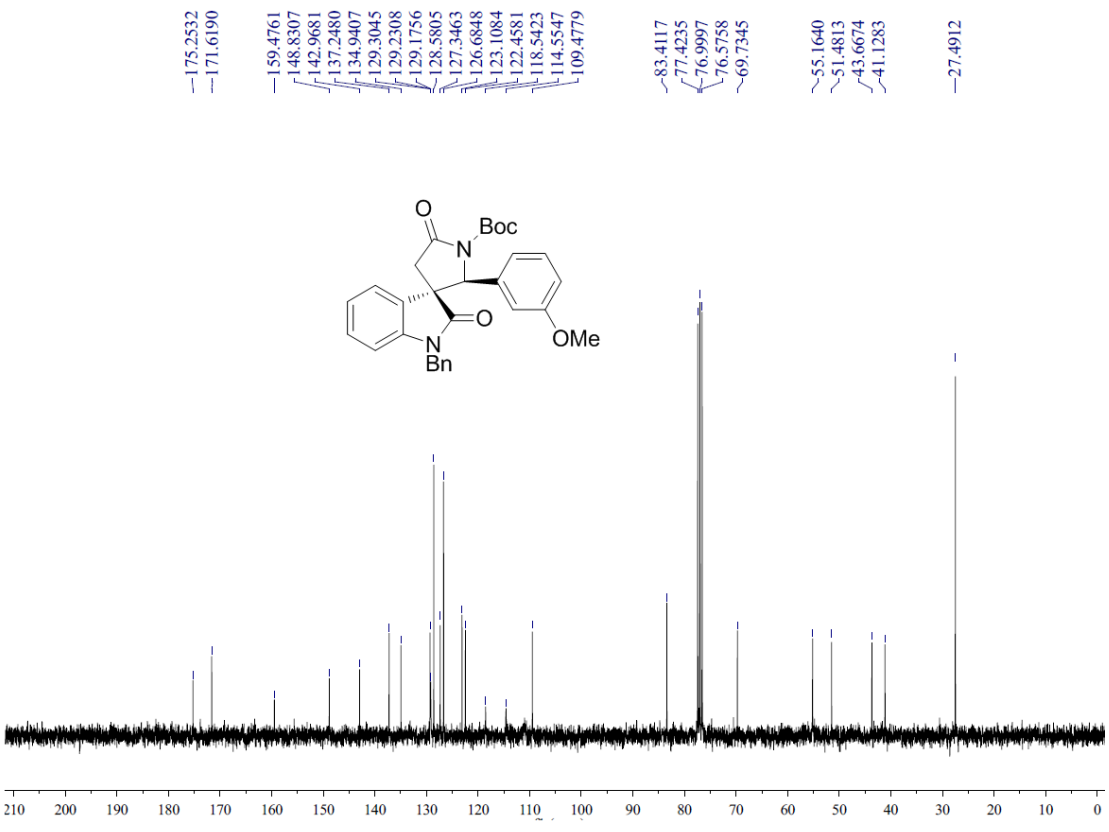
1.04
1.02
3.04
1.08
1.06
9.11
fl (ppm)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127.3463
126.6848
123.1084
122.4581
118.5423
114.5547
109.4779
83.4117
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76.5758
69.7345
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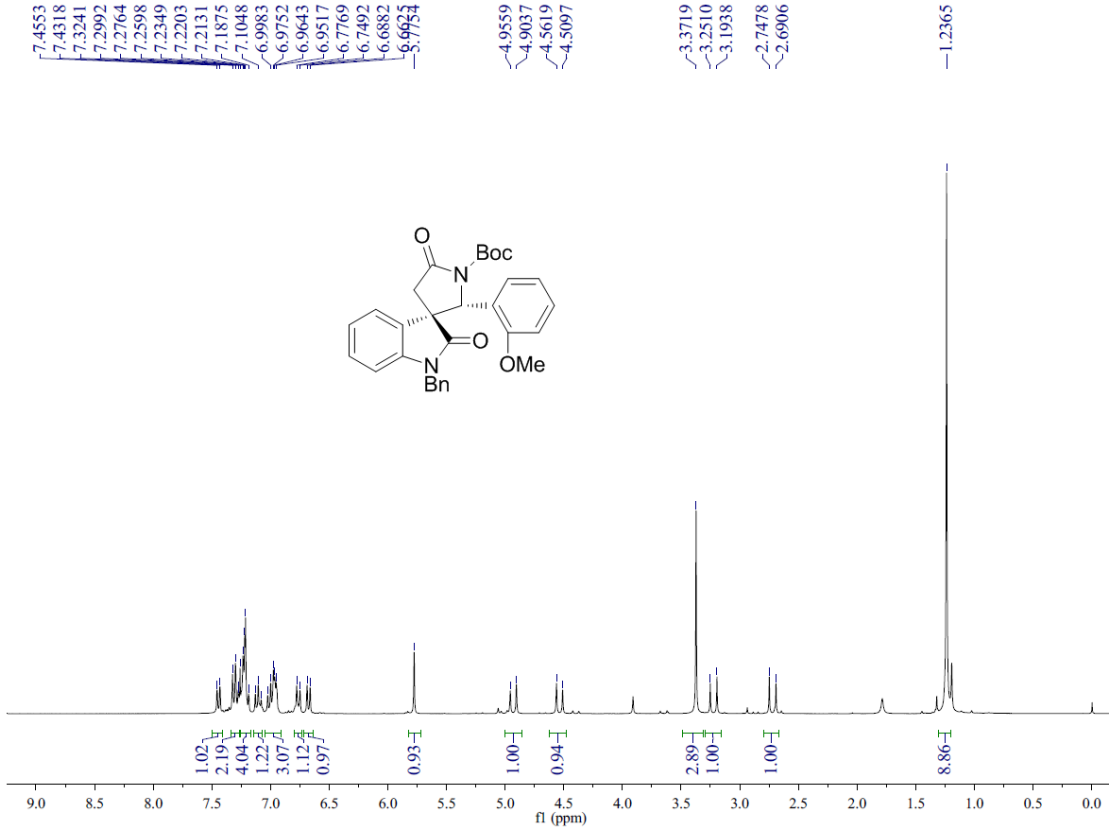
N
O
O
N
Bn
OMe

4l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6.9983
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6.9643
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6.7769
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6.6882
5.7254
4.9559
4.9037
4.5619
4.5097
3.3719
3.2510
3.1938
2.7478
2.6906
1.2365
O
Boc
N
O
N
Bn
OMe
1.02
2.19
4.04
1.22
3.07
1.12
0.97
0.93
1.00
0.94
2.89
1.00
1.00
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9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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1.0
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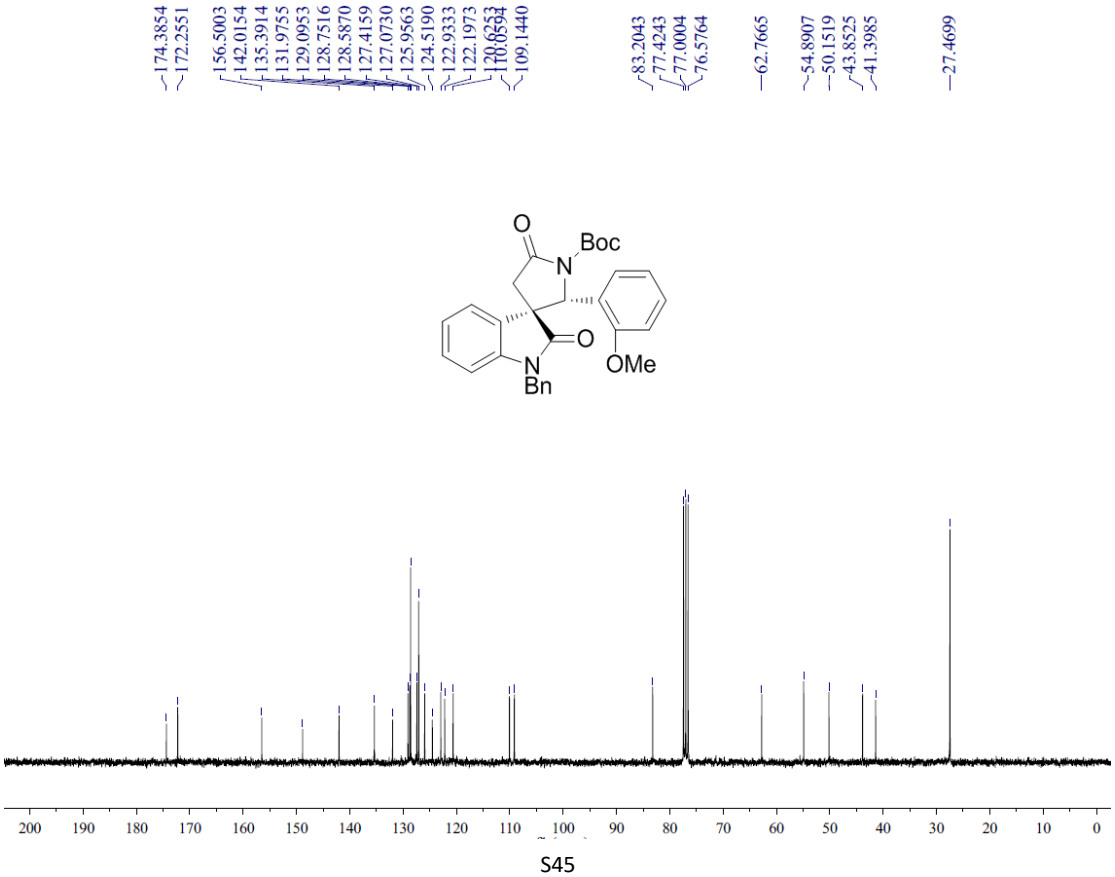
0.0
f1 (ppm)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122.1973
120.6253
110.0594
109.1440
83.2043
77.4243
77.0004
76.5764
62.7665
54.8907
50.1519
43.8525
41.3985
27.4699
O
Boc
N
O
N
Bn
OMe
200
190
180
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120
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100
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80
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60
50
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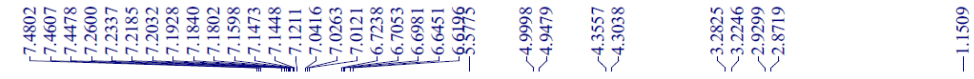
30
20
10
0

4m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7.1473
7.1448
7.1211
7.0416
7.0263
7.0121
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6.6981
6.6451
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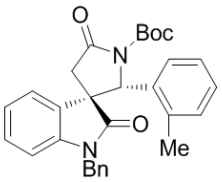
1.1509


O
Boc
N
O
N
Bn
Me

2.08
7.17
1.05
2.05
1.03
1.00
1.01
0.98
1.00
1.01
8.97
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


175.0126
171.9266
142.4227
135.1729
135.0268
134.5617
130.8370
130.1620
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128.6111
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127.4445
127.0600
126.3081
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43.8836
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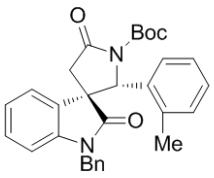
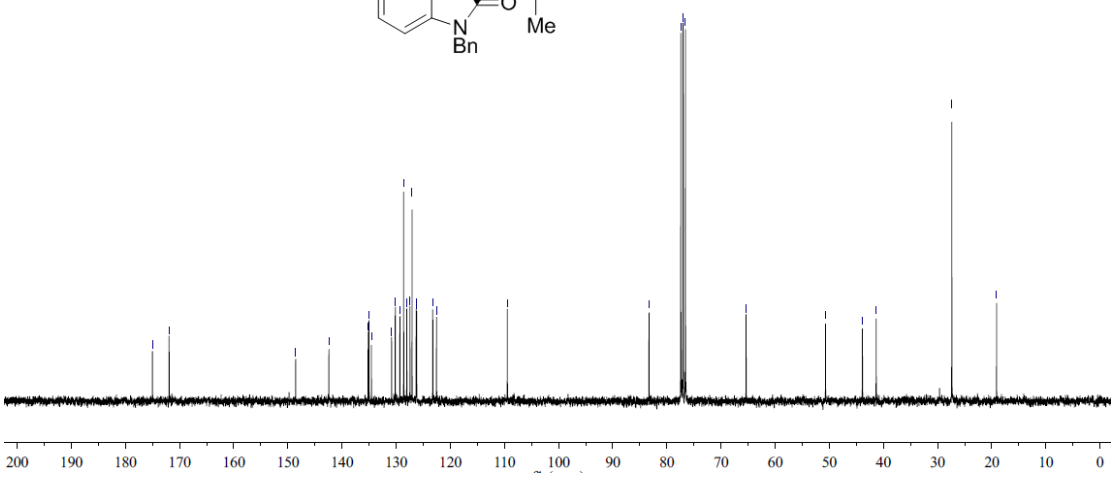
27.3714
19.1099


O
Boc
N
O
N
Bn
Me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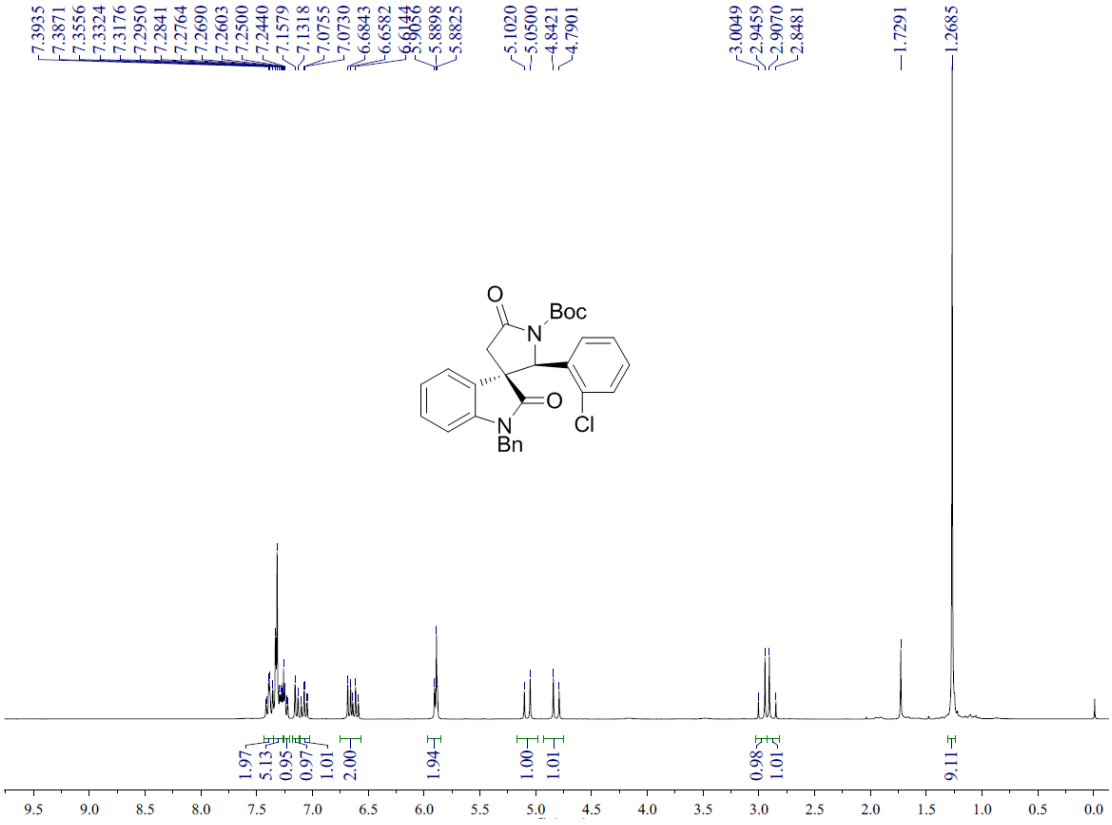
3n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7.1579
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6.6582
6.6144
6.6056
5.8898
5.8825
5.1020
5.0500
4.8421
4.7901
3.0049
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2.9070
2.8481
1.7291
1.2685
O
Boc
N
O
N
Bn
Cl
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5.13
0.95
0.97
1.01
2.00
1.94
1.00
1.01
0.98
1.01
9.11
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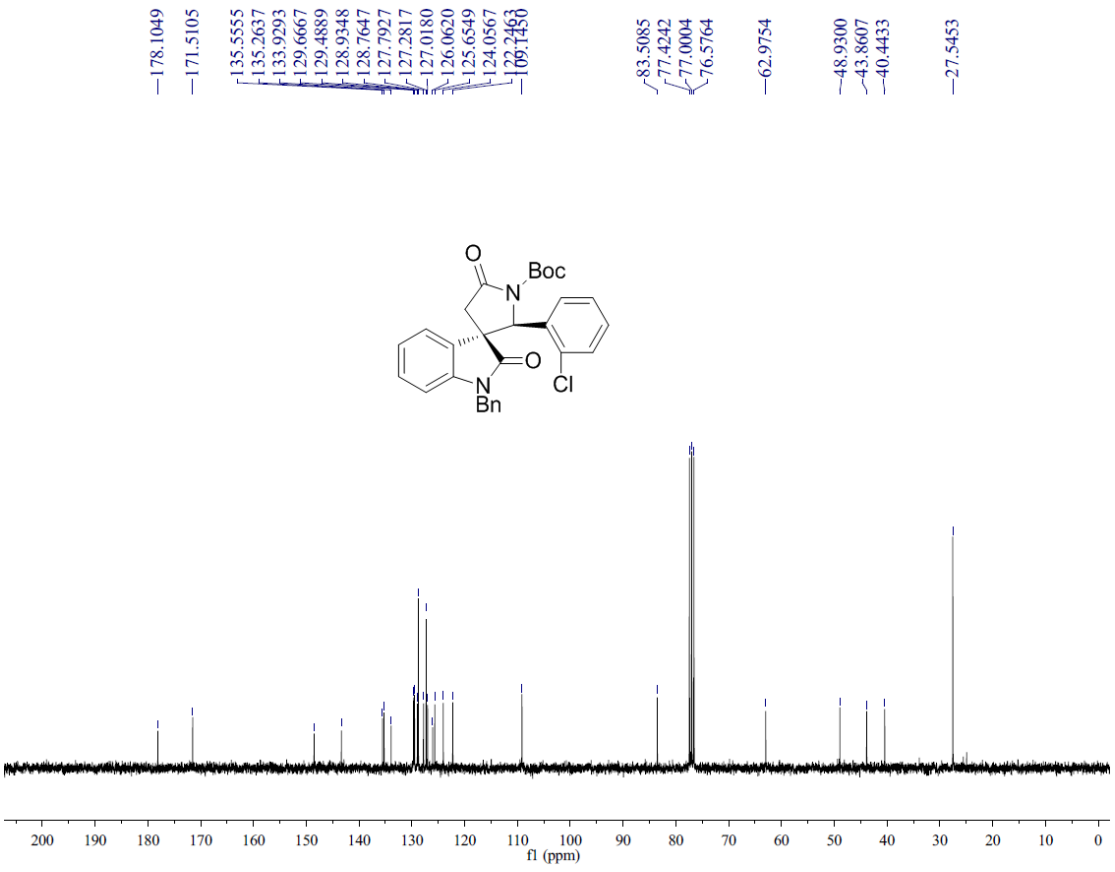
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83.5085
77.4242
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76.5764
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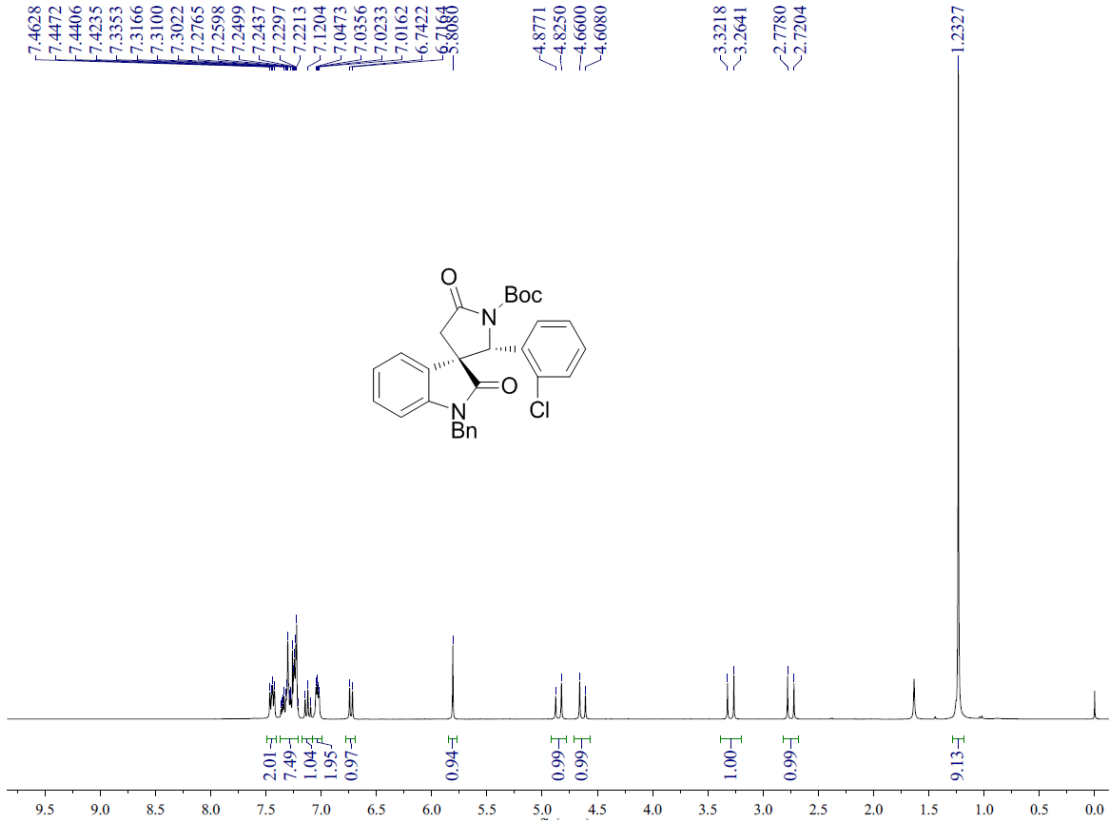
48.9300
43.8607
40.4433
27.5453
O
Boc
N
O
N
Bn
Cl
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

4n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7.0233
7.0162
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4.6080
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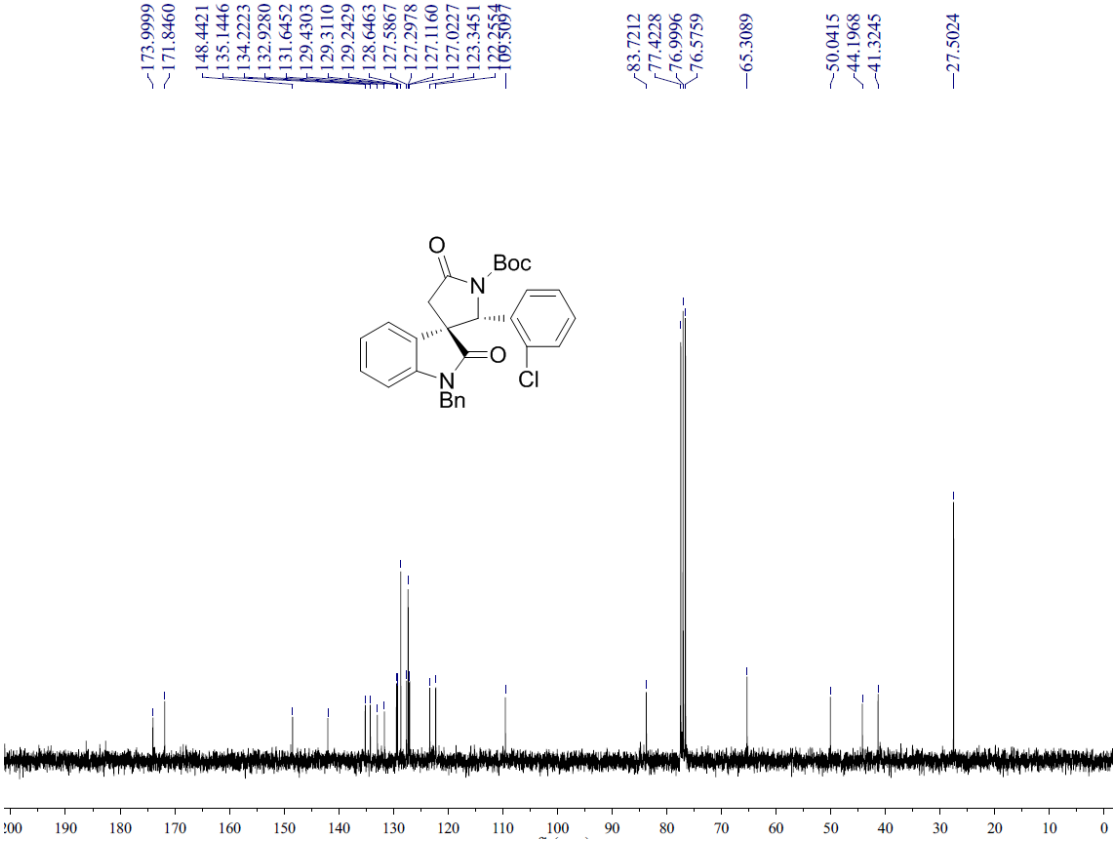
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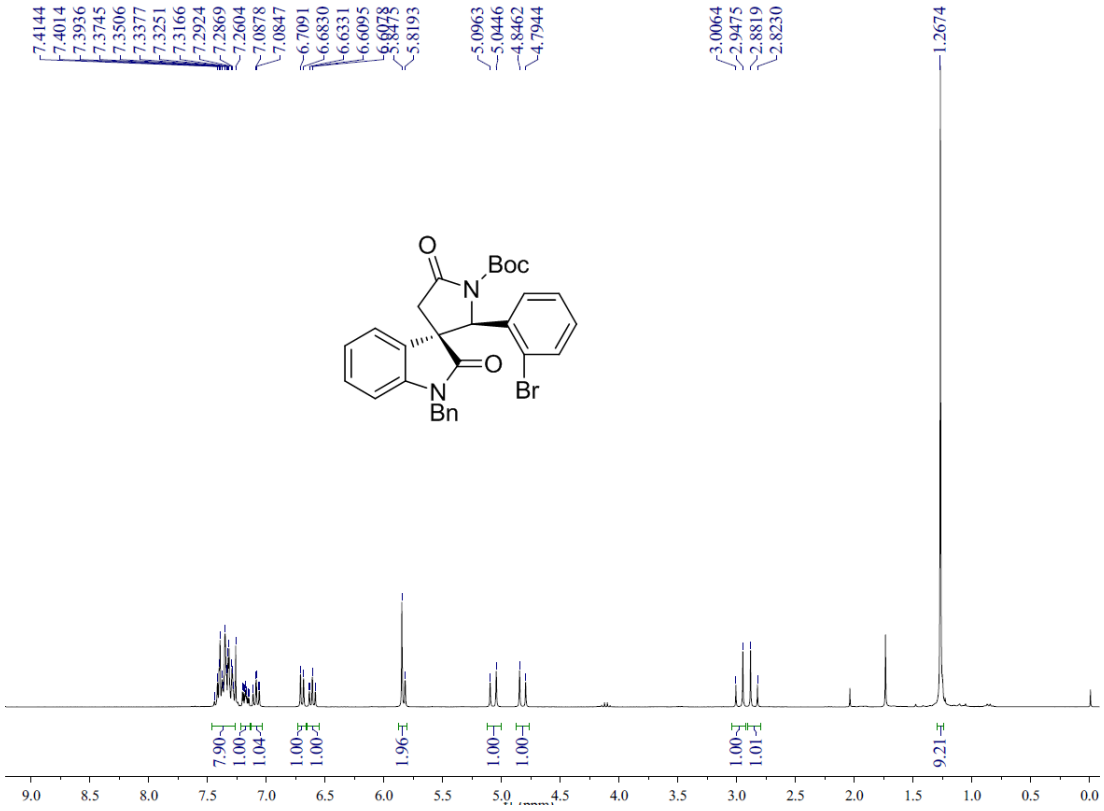
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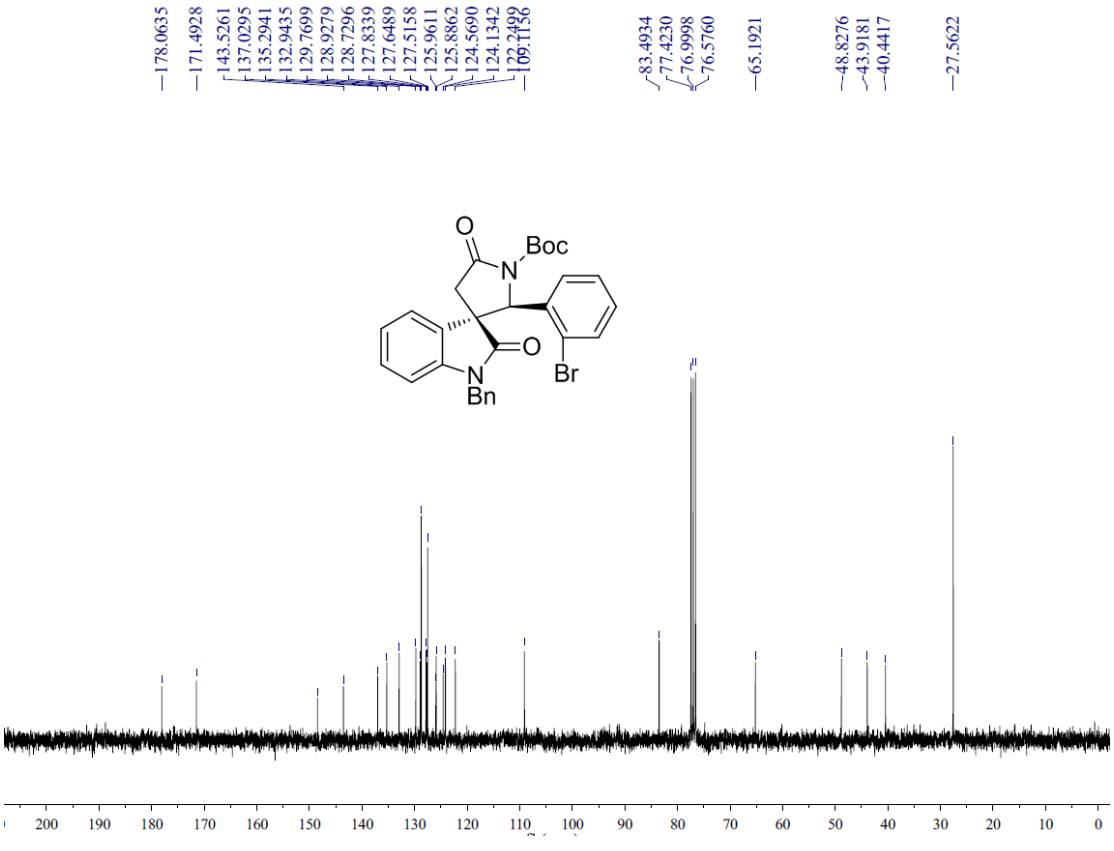
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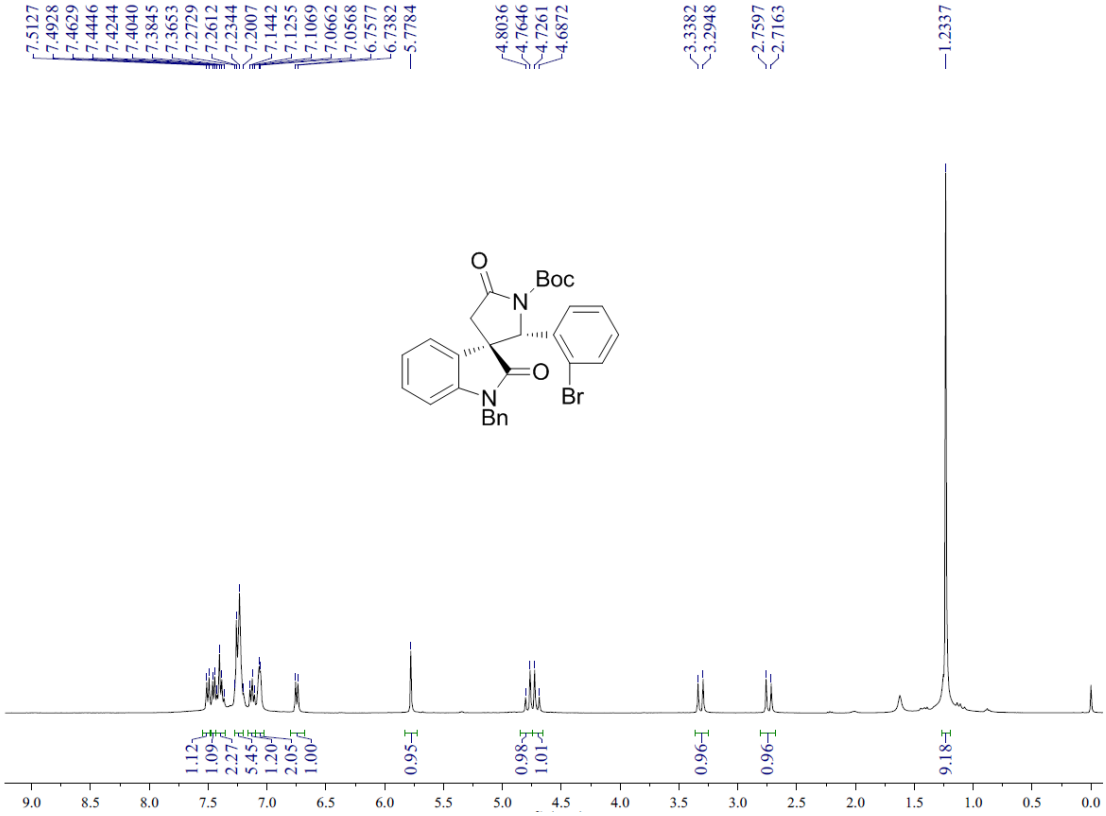
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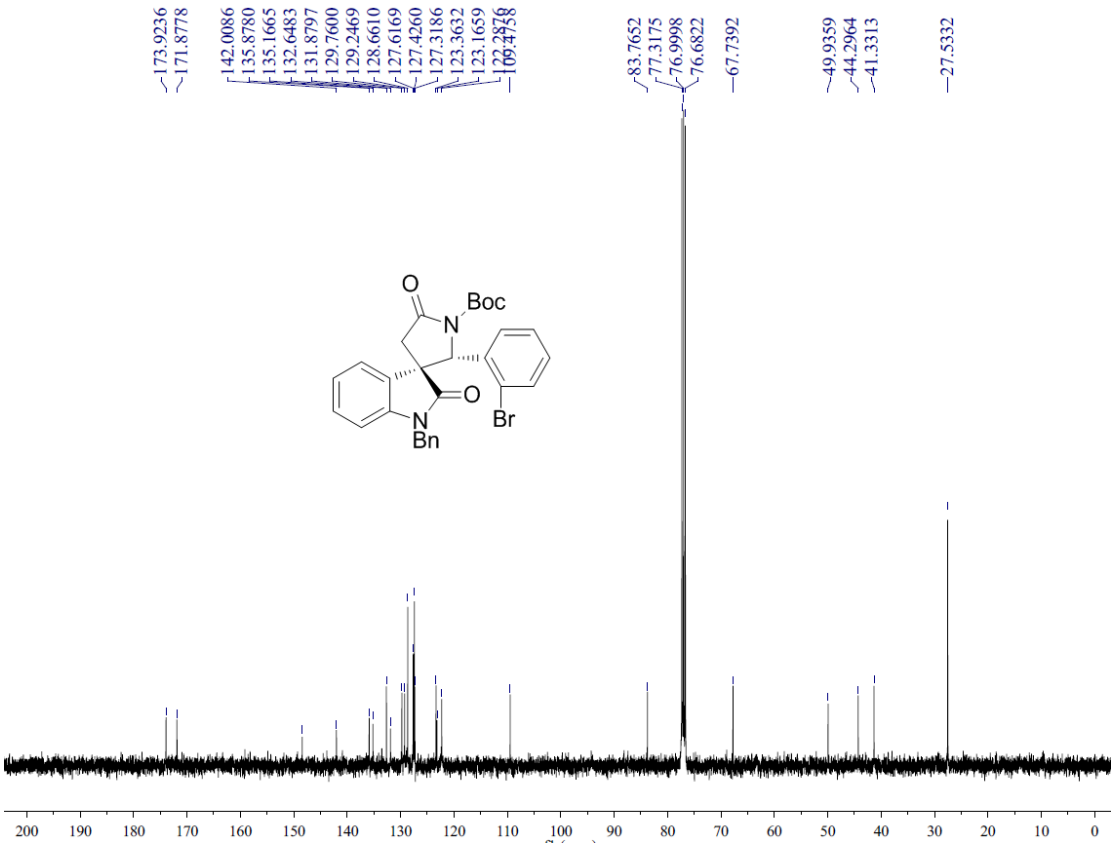
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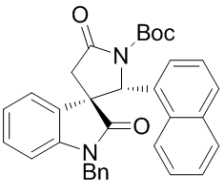
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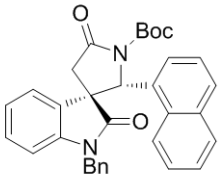
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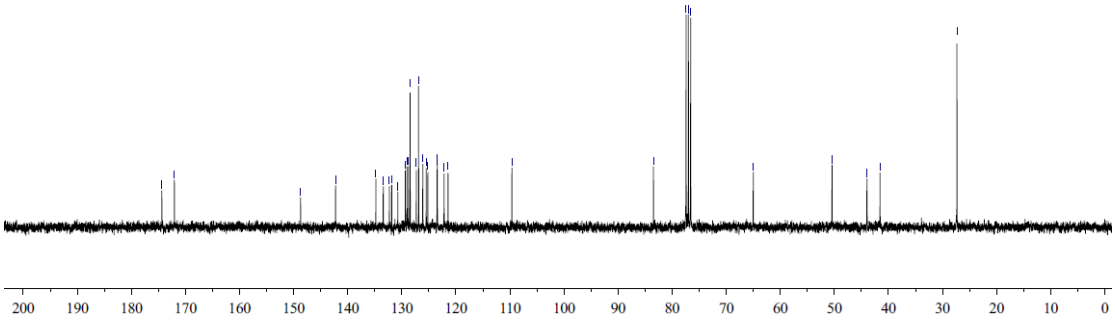
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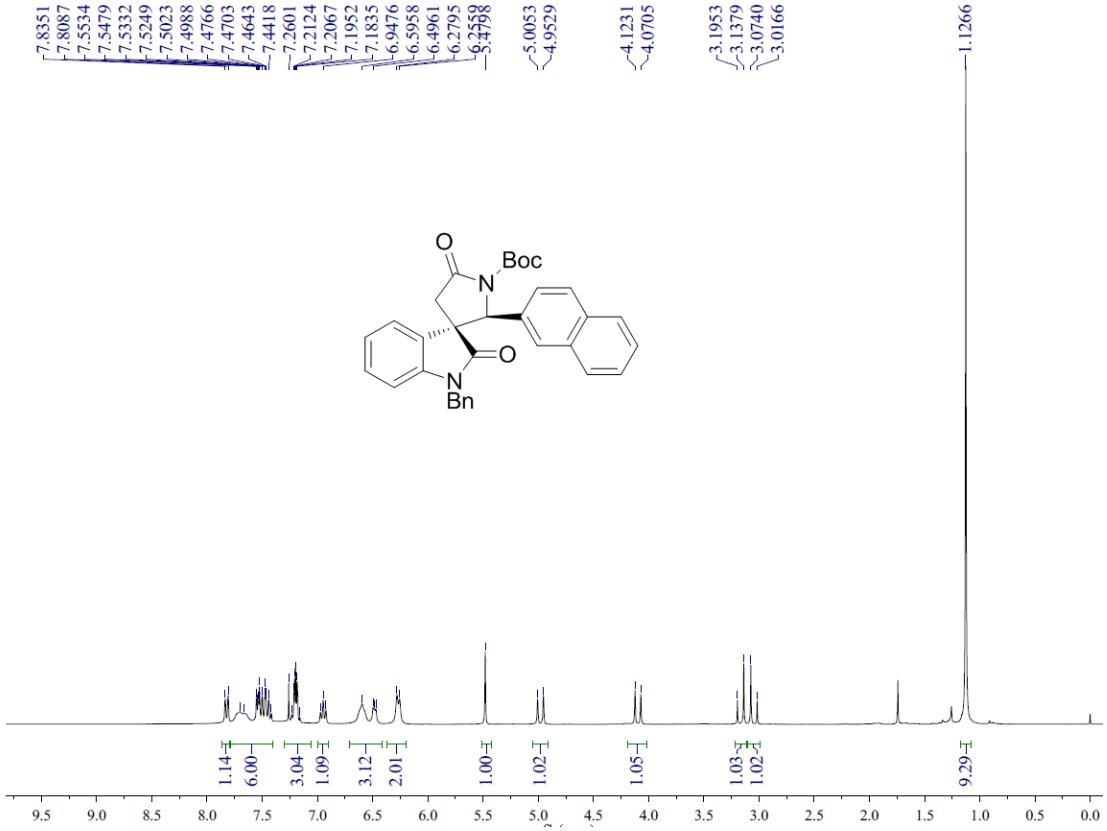
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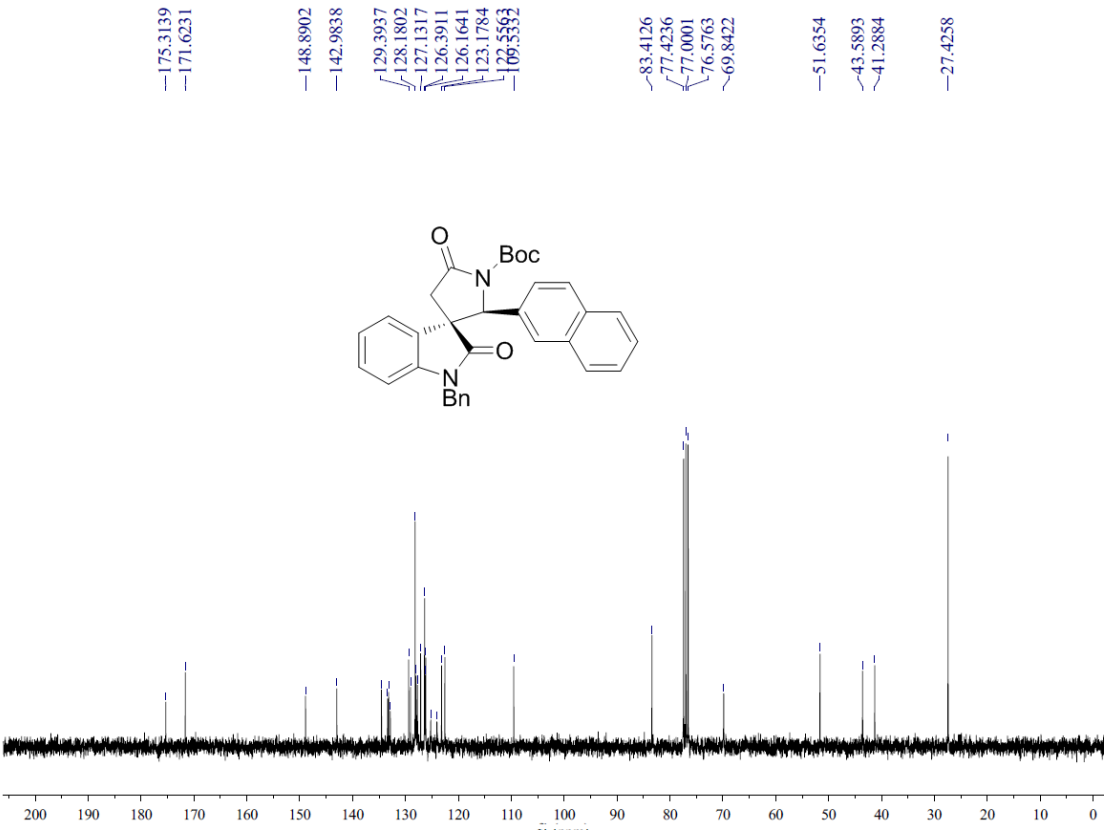
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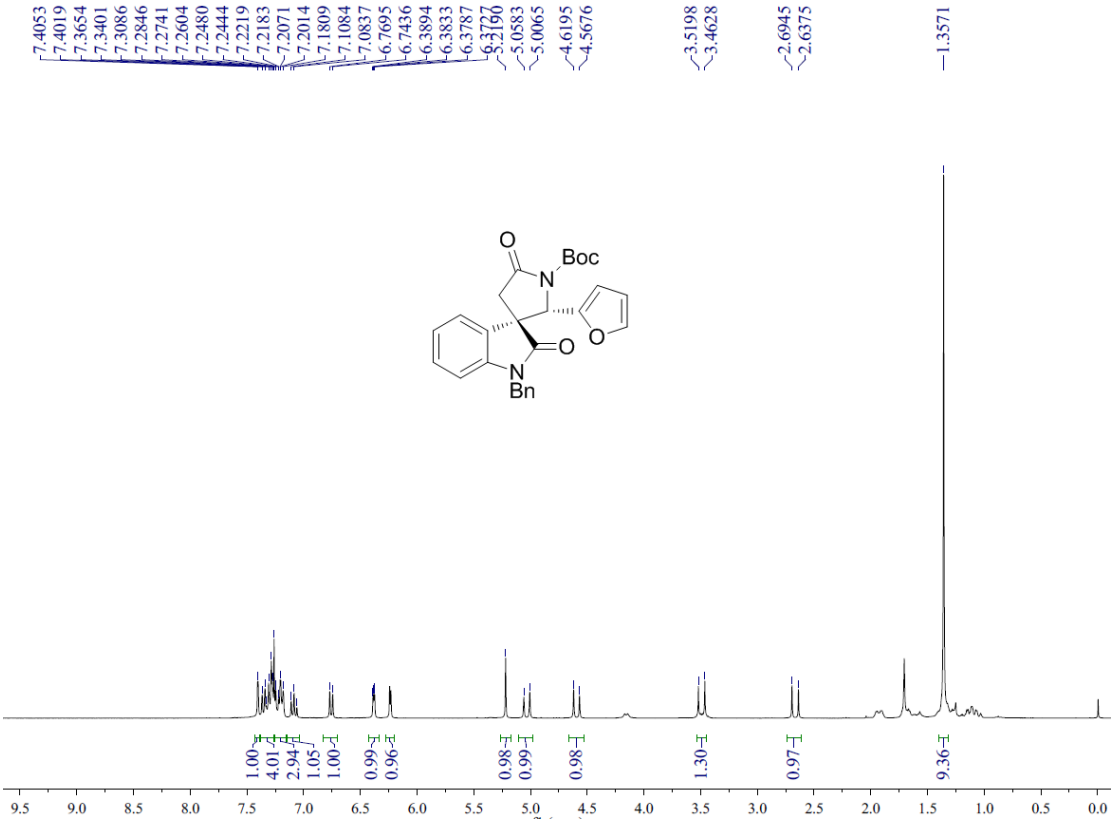
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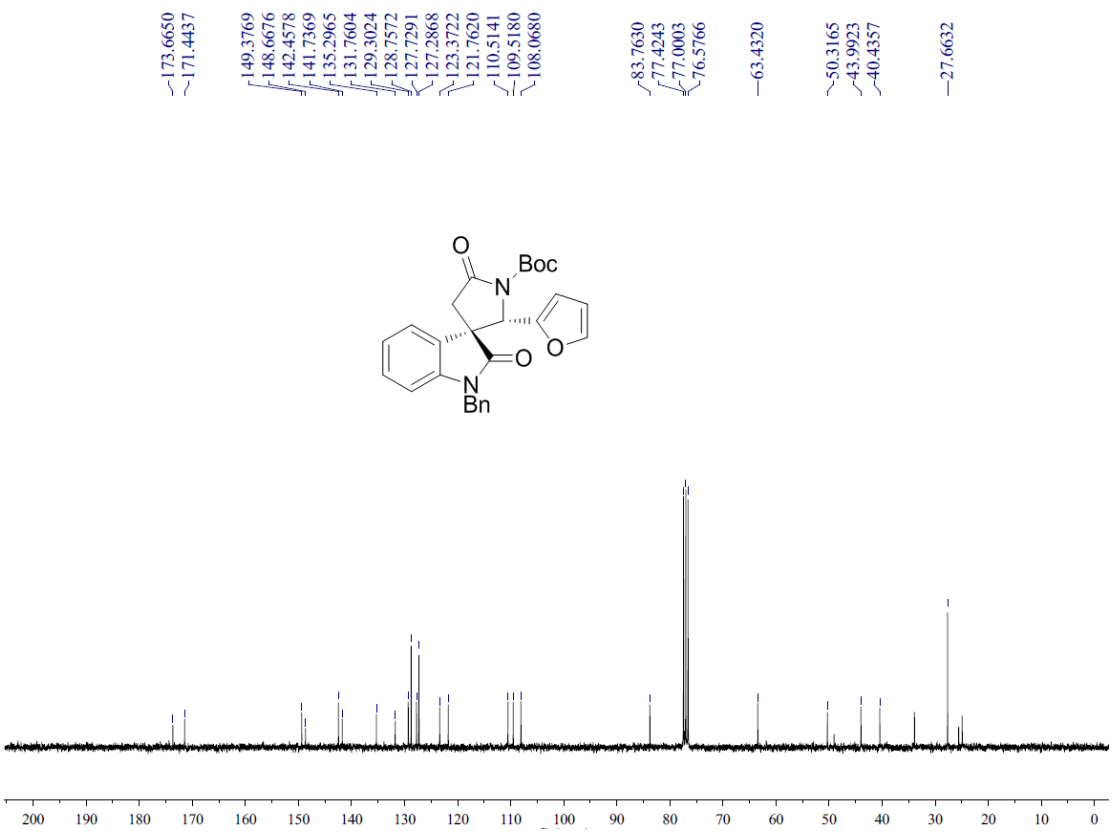
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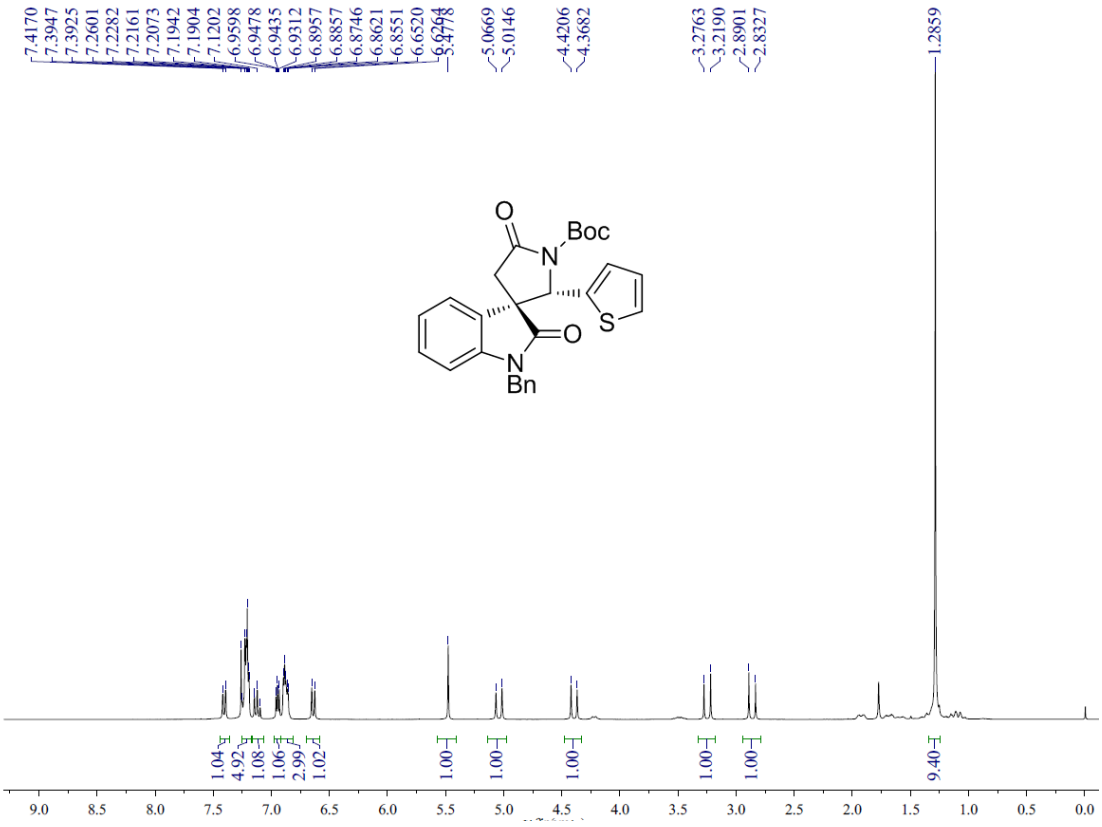
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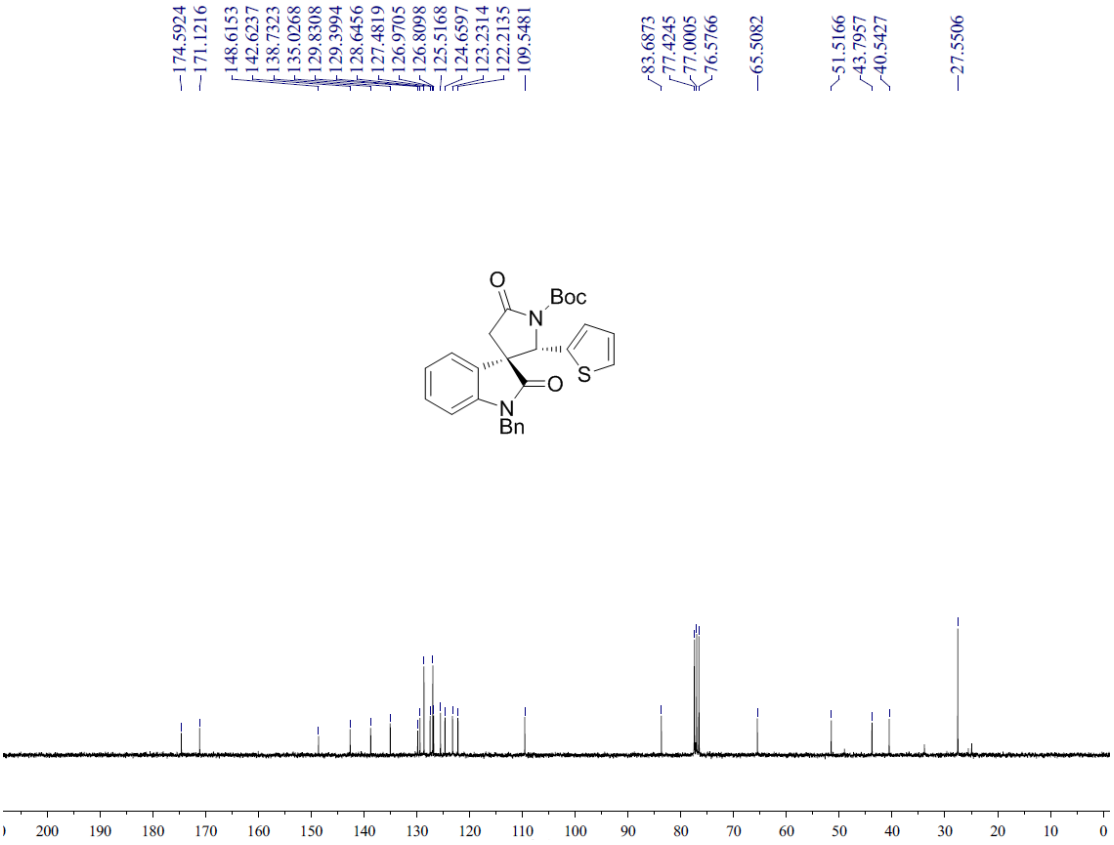
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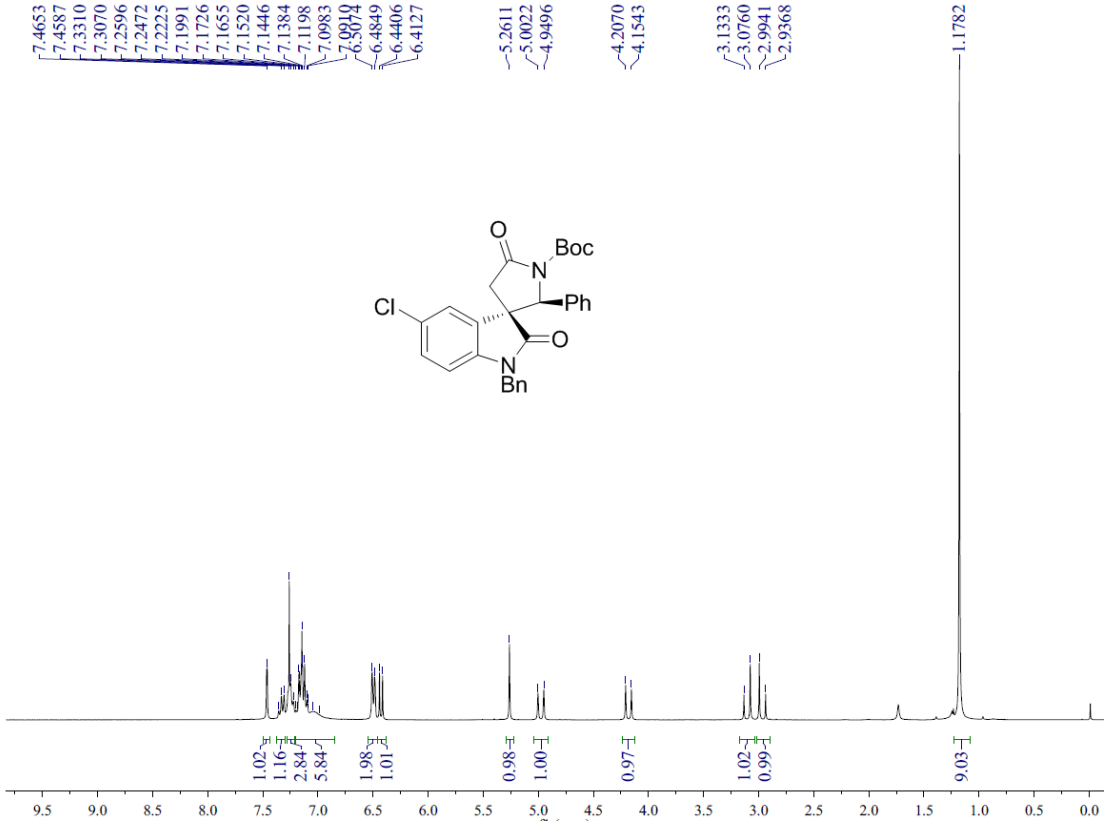
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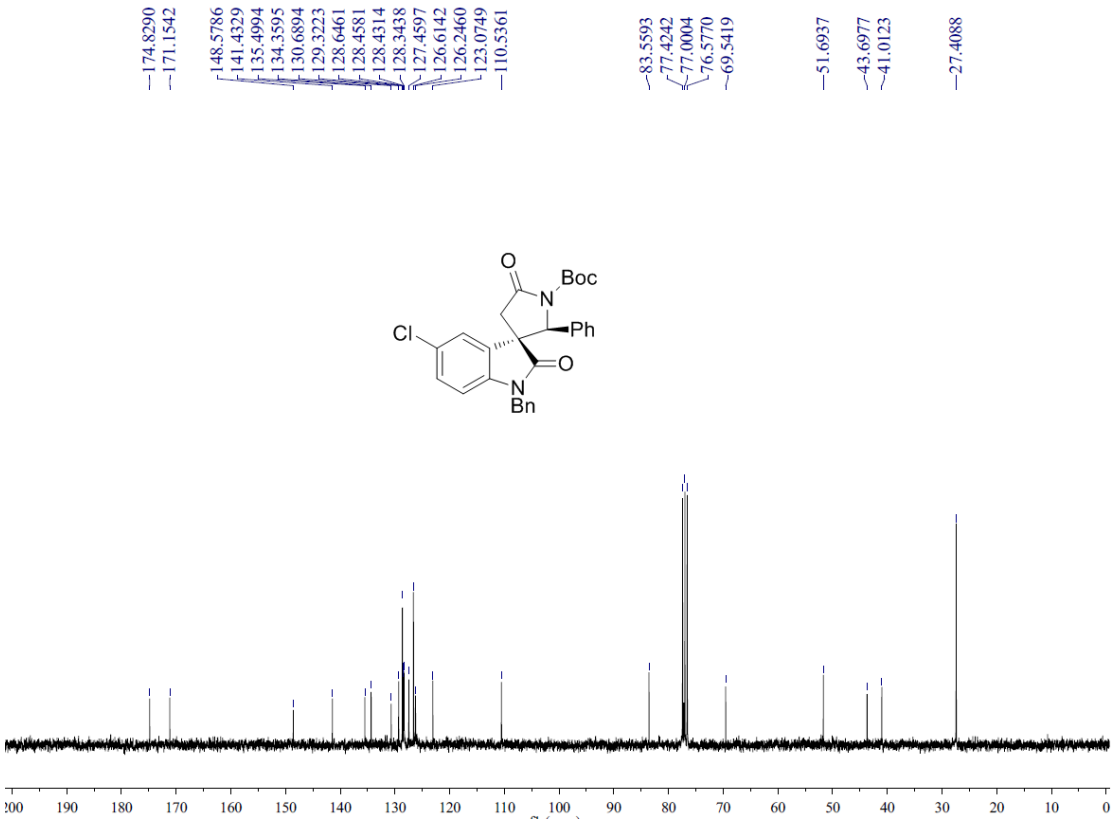
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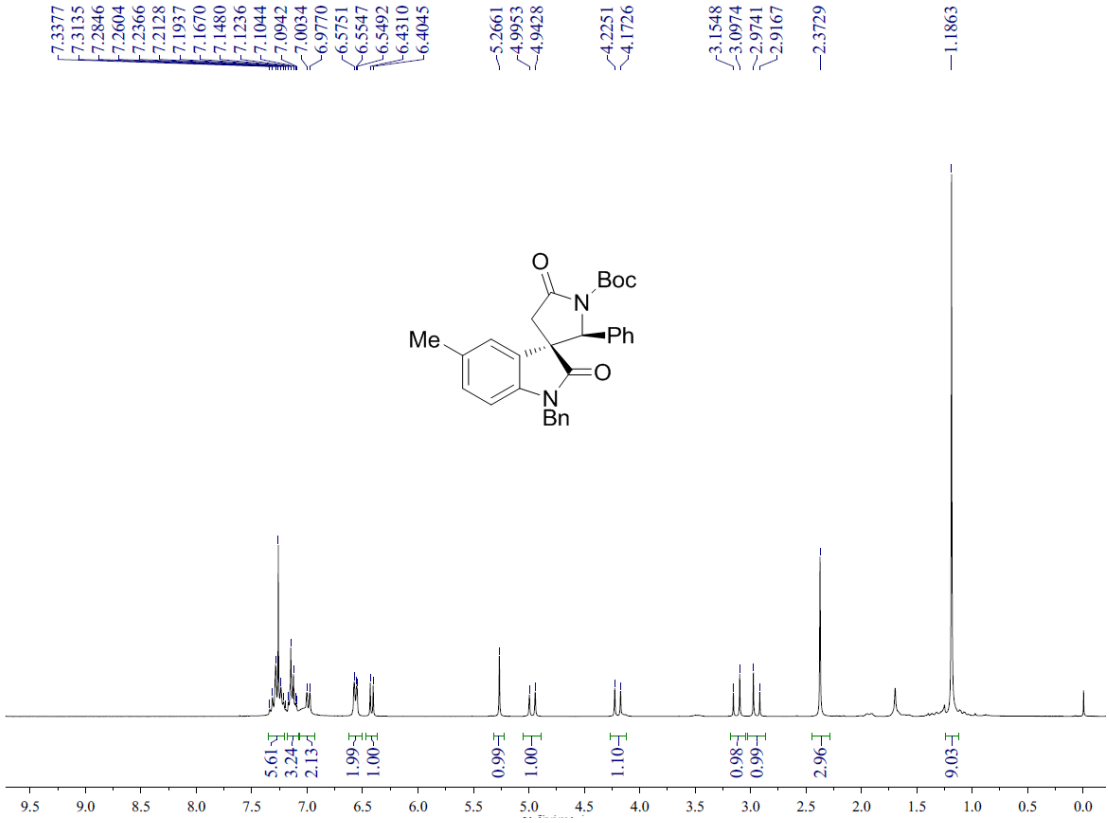
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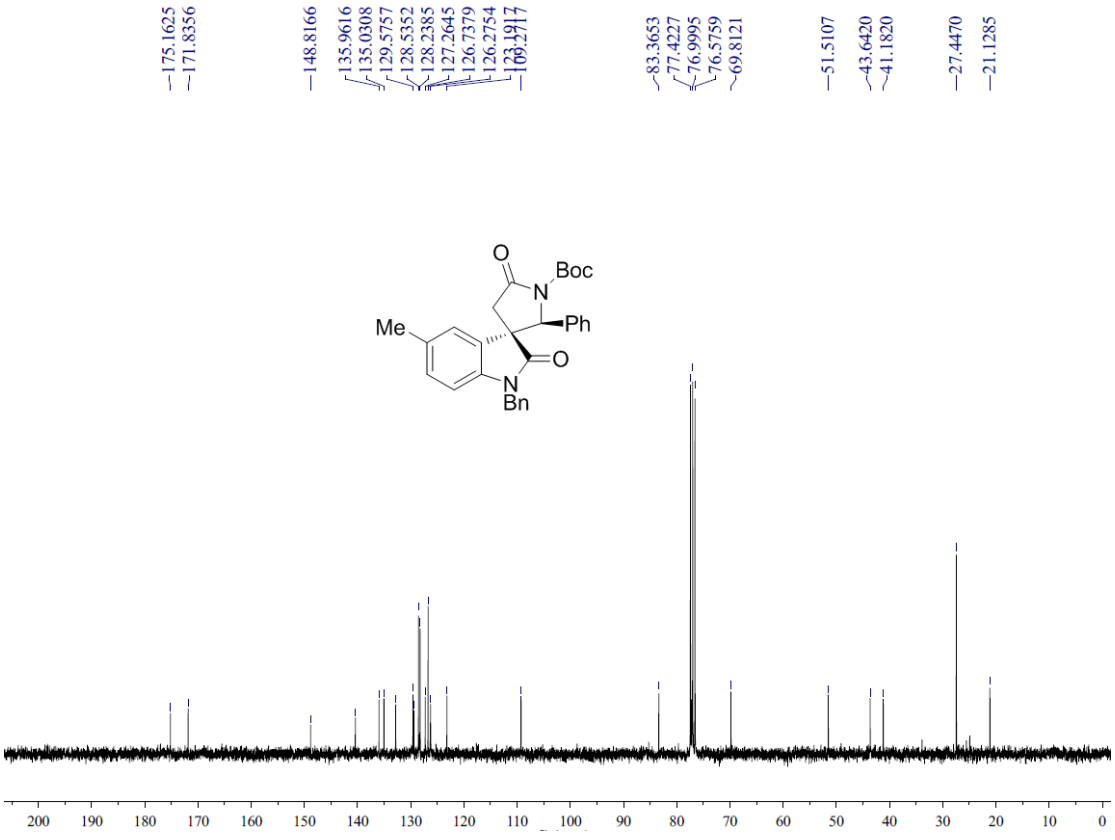
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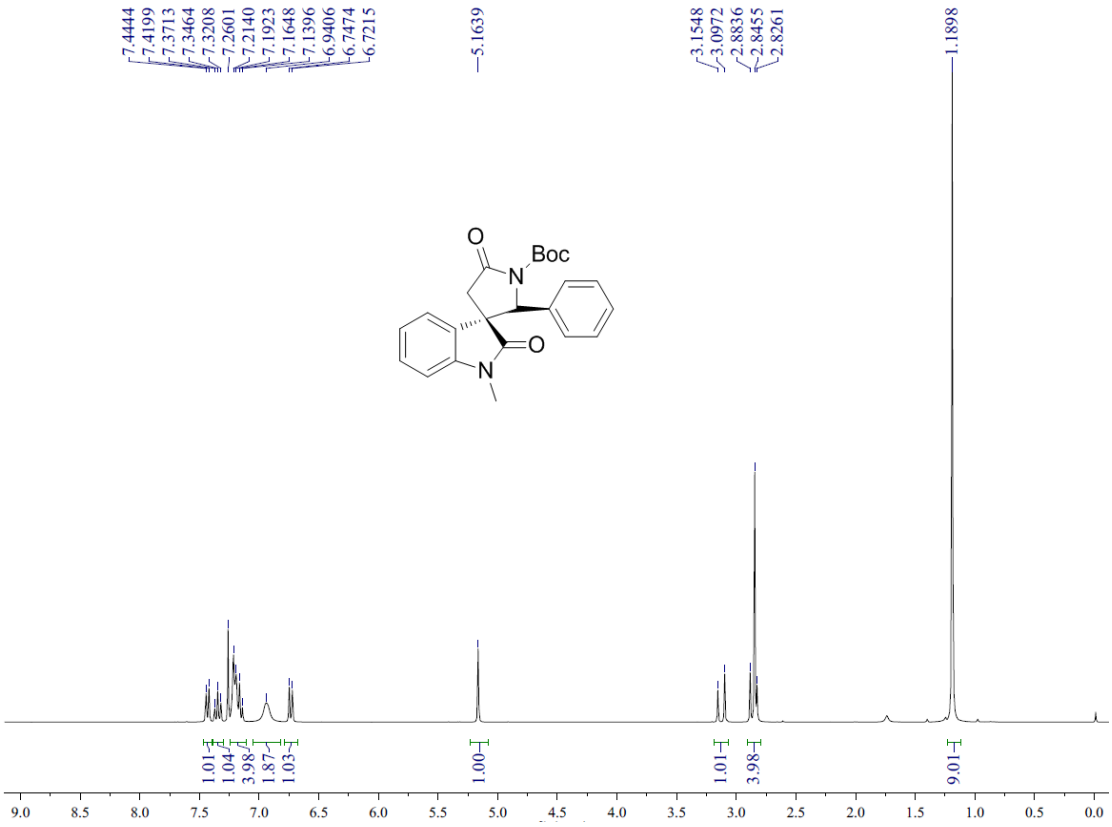
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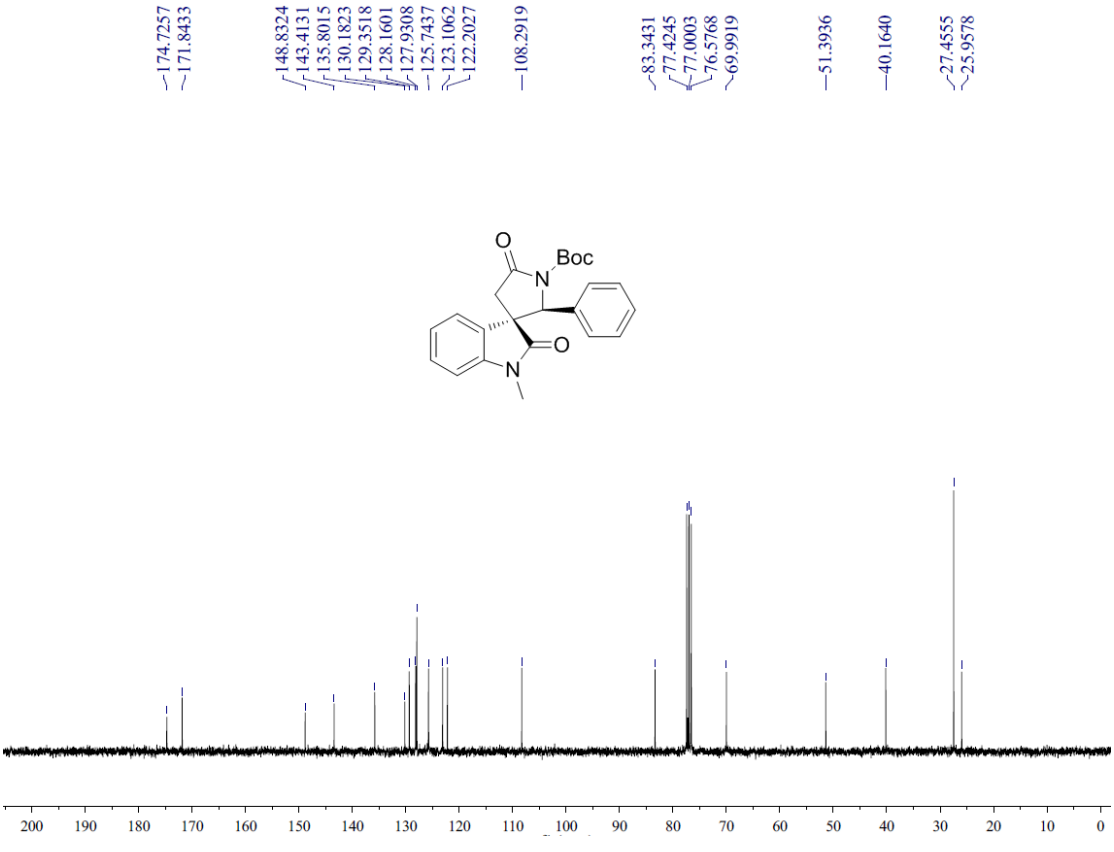
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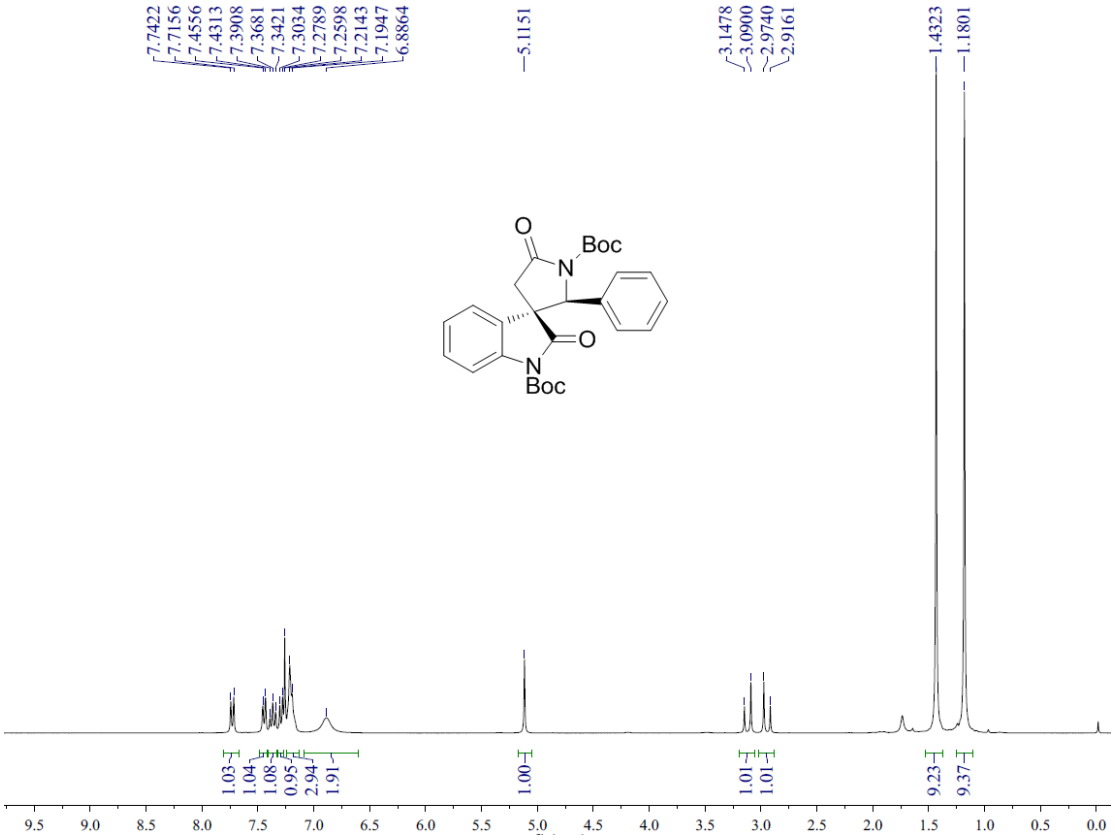
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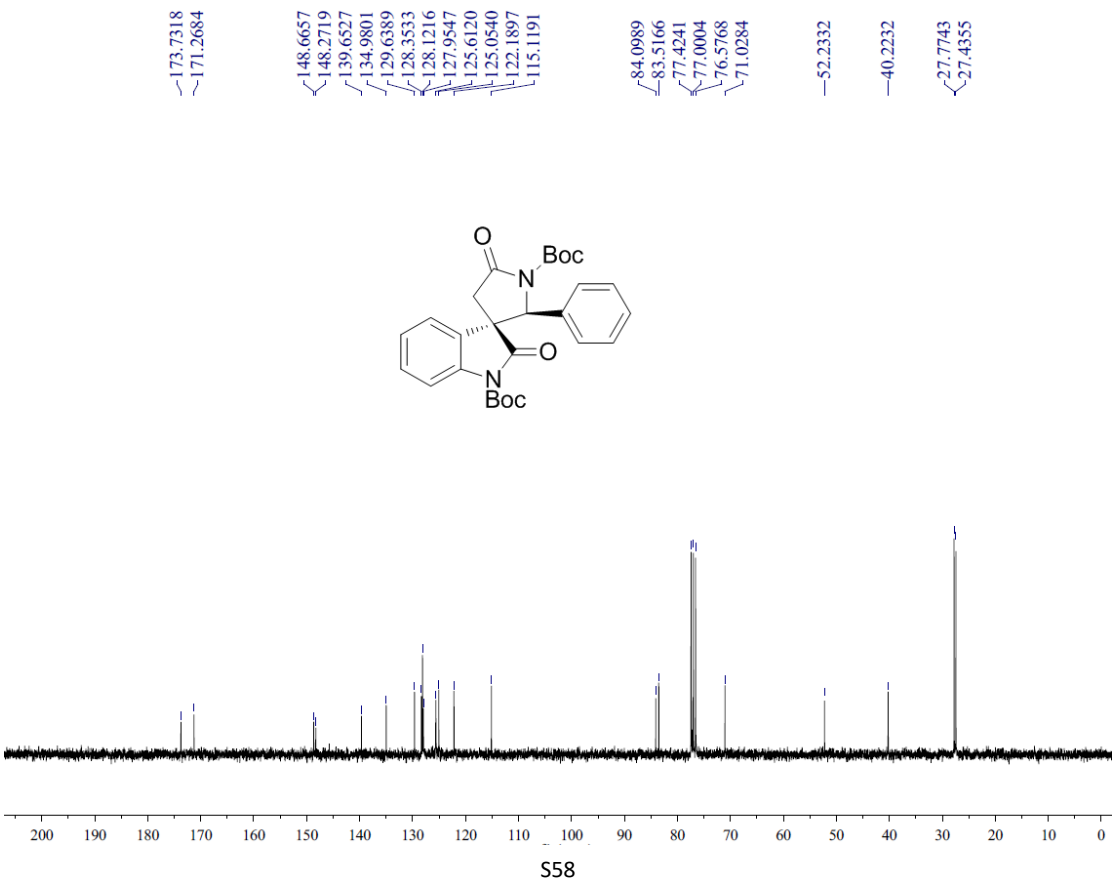
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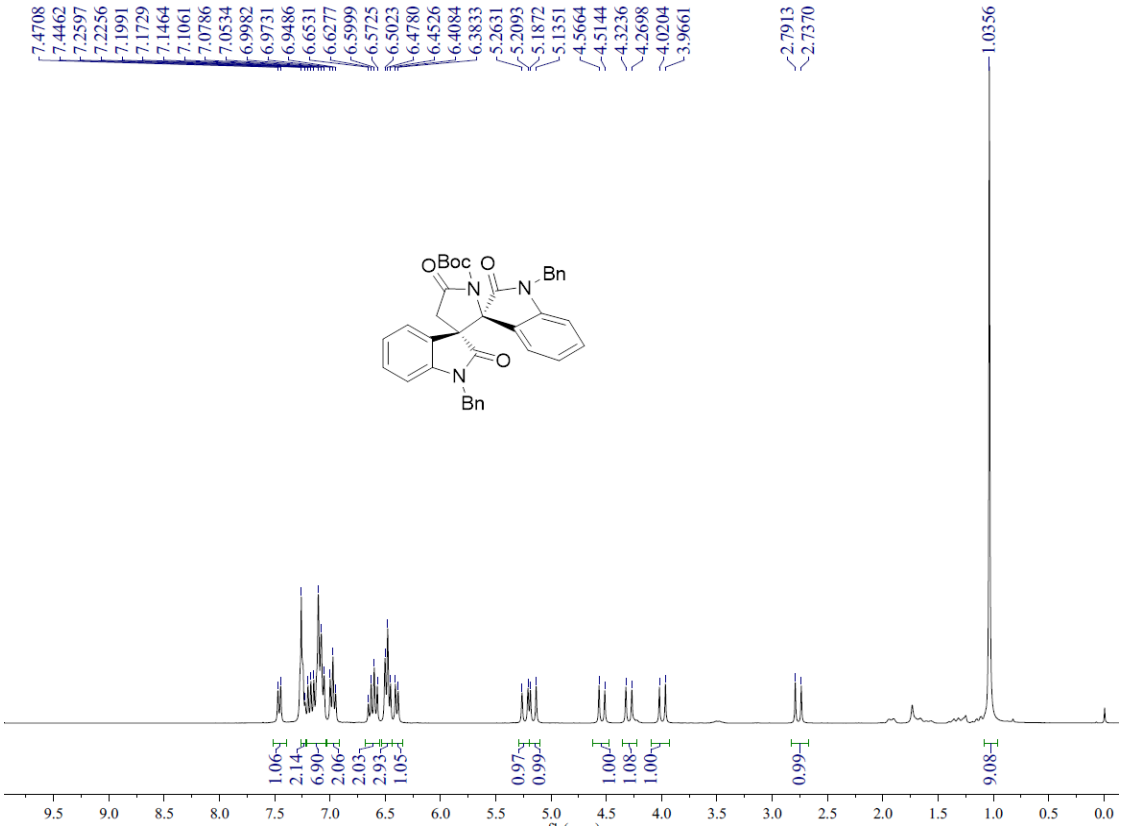
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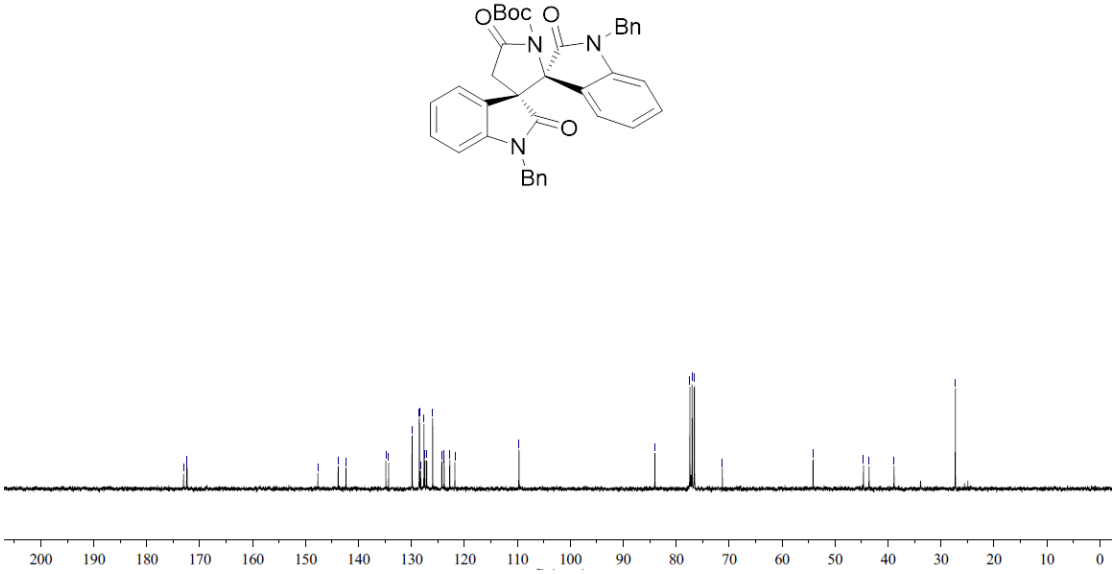
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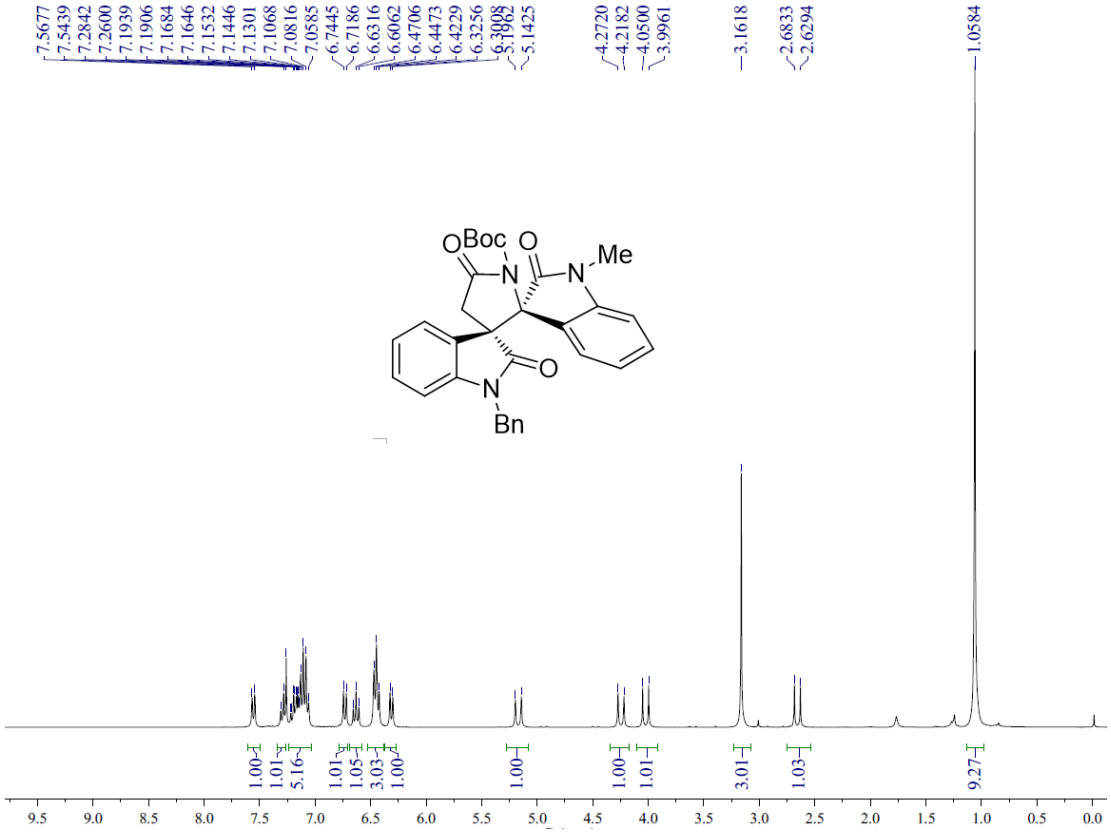
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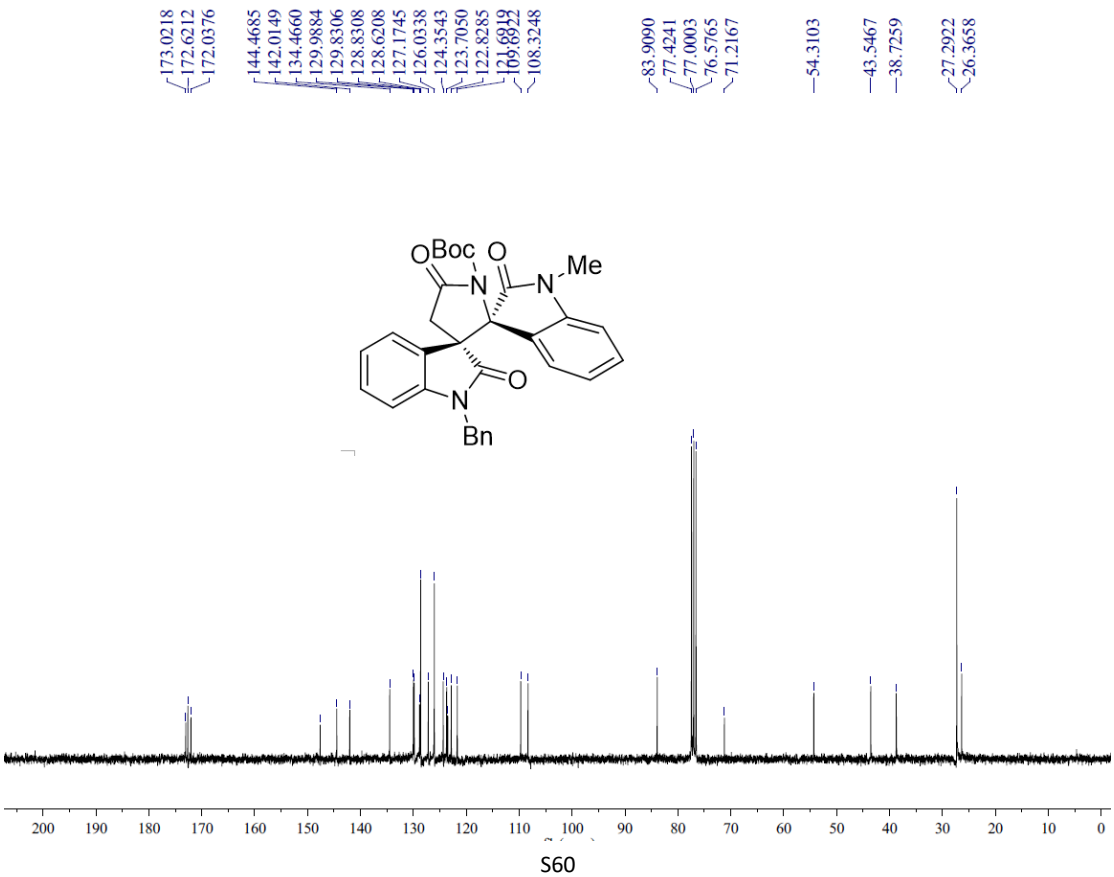
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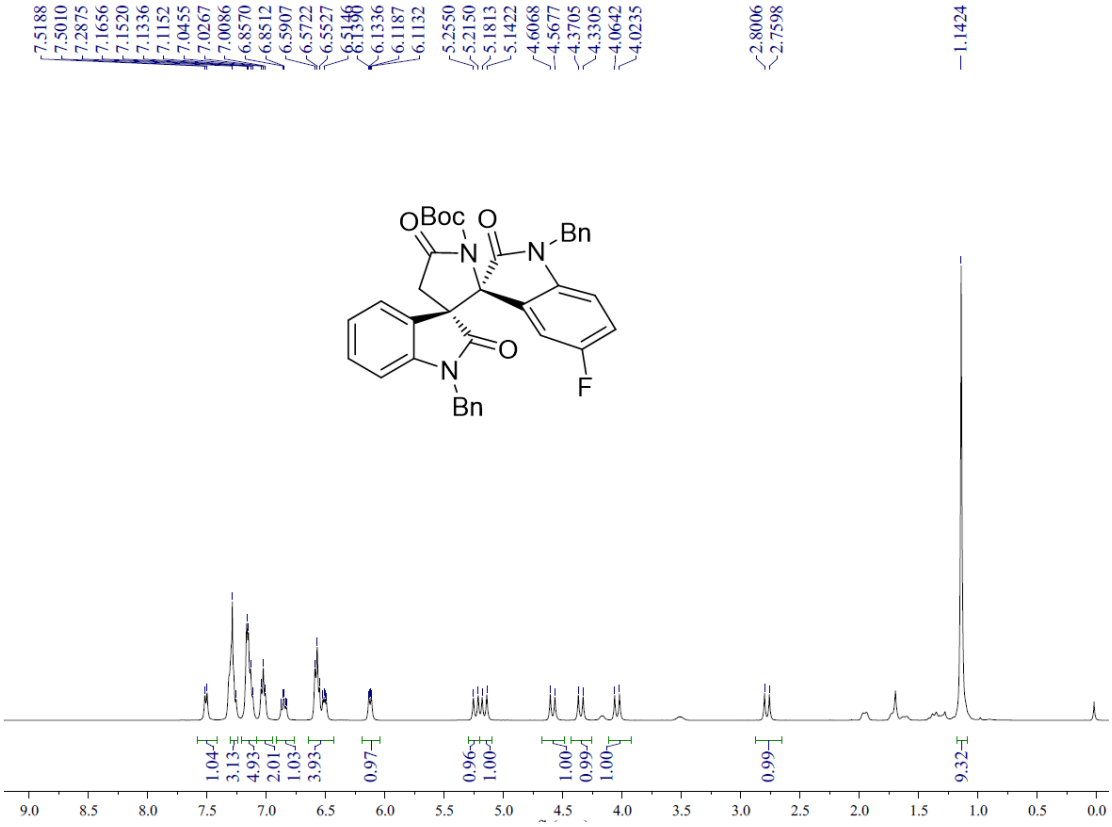
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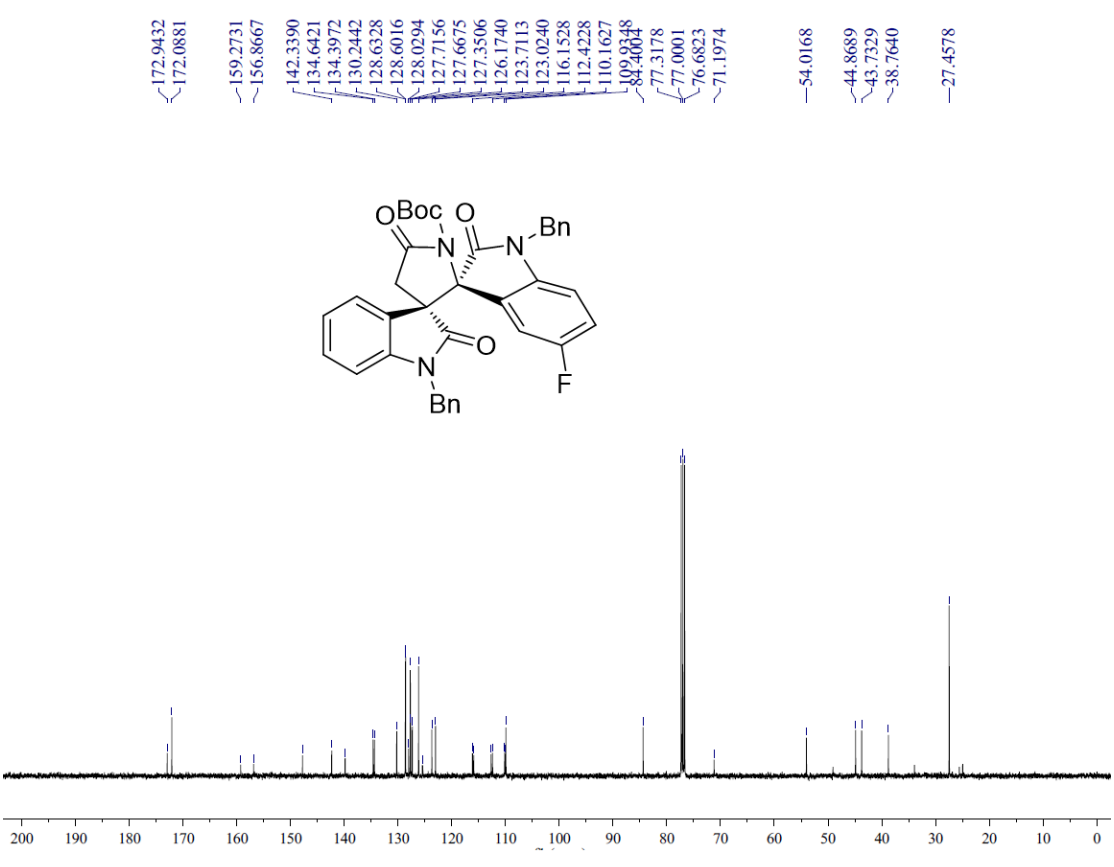
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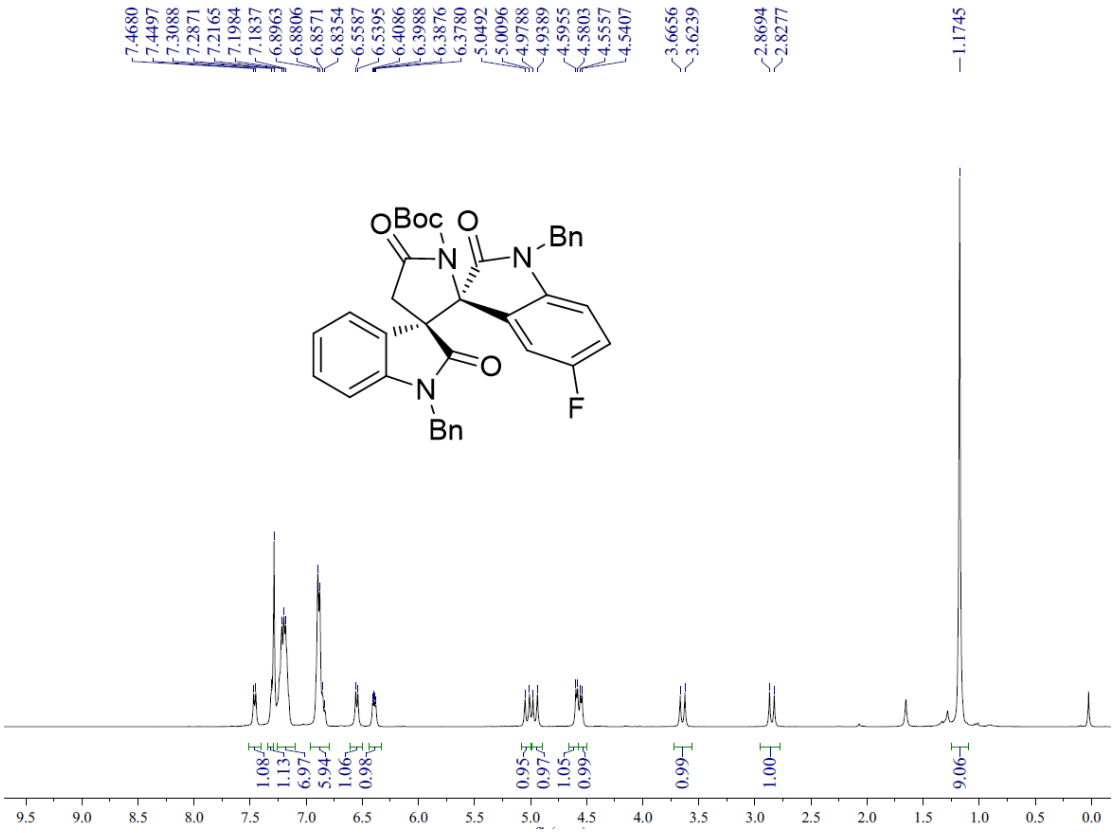
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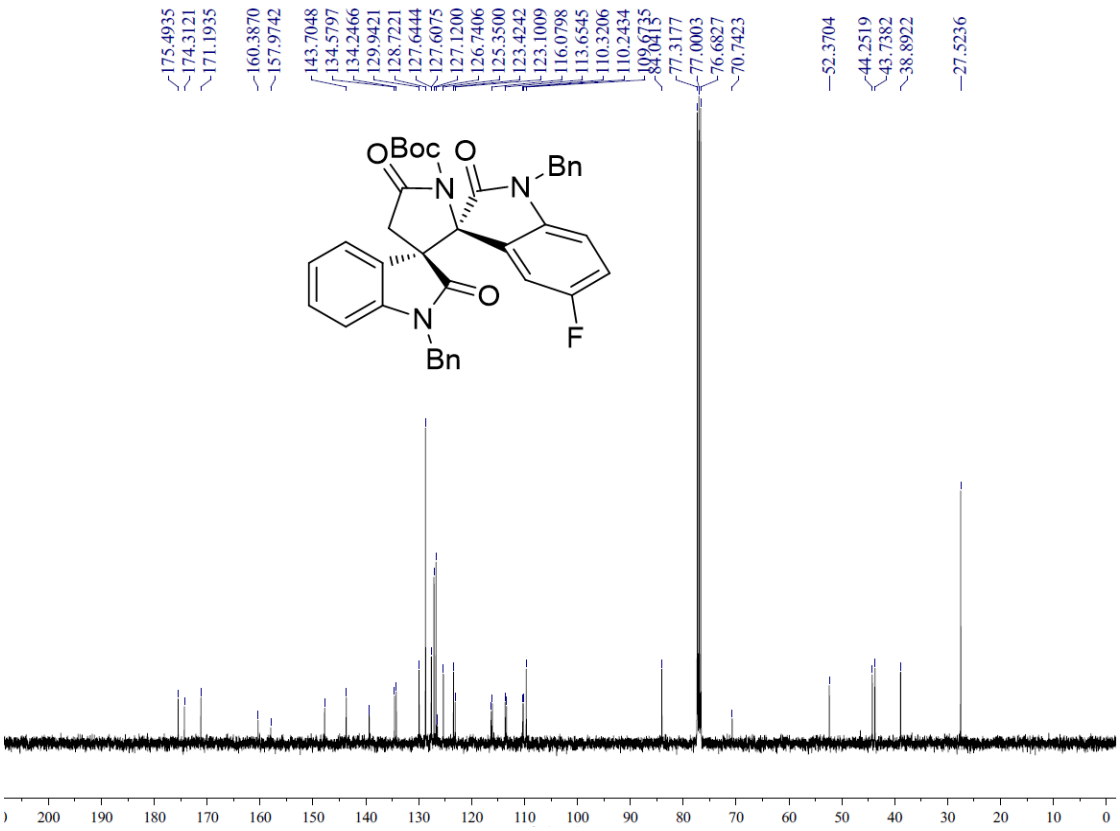
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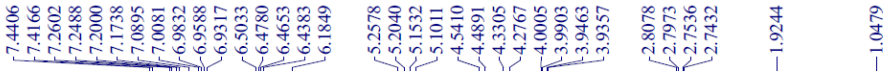
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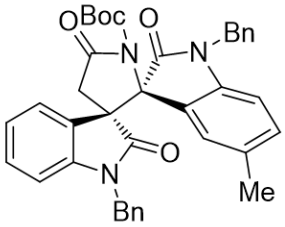
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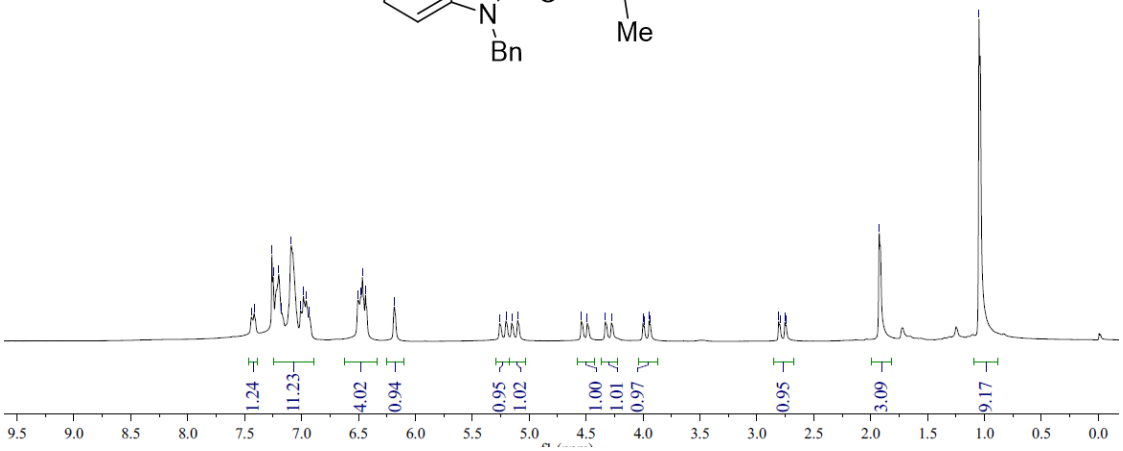
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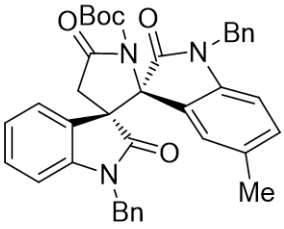
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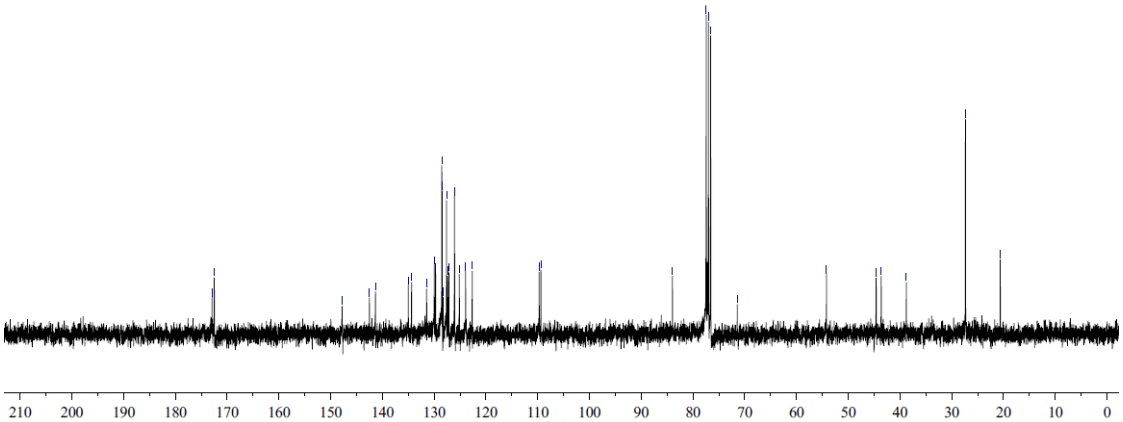
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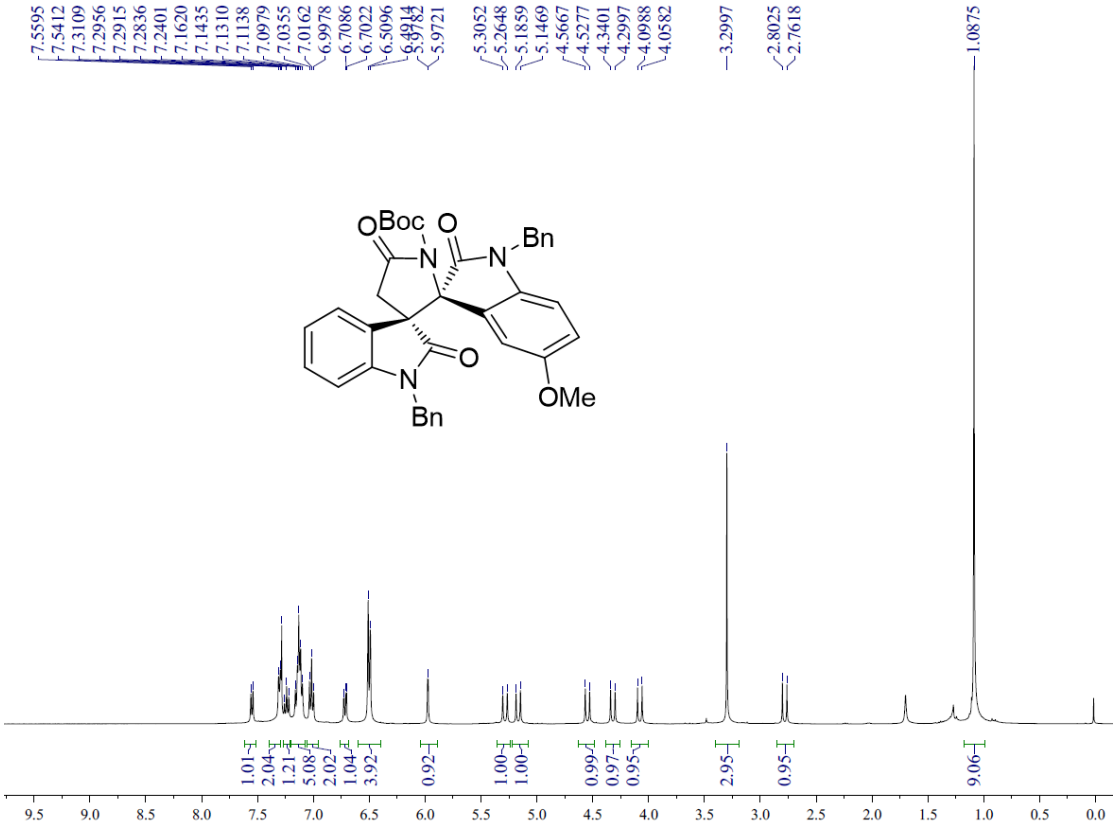
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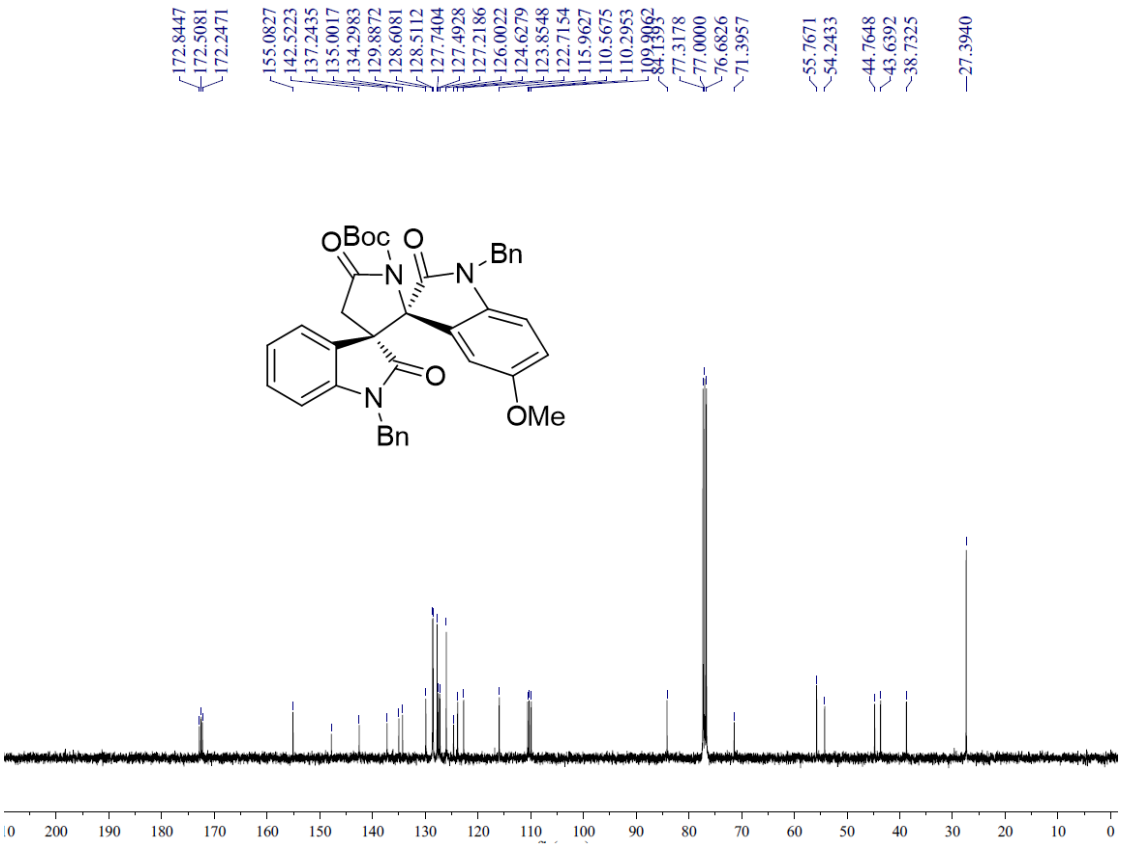
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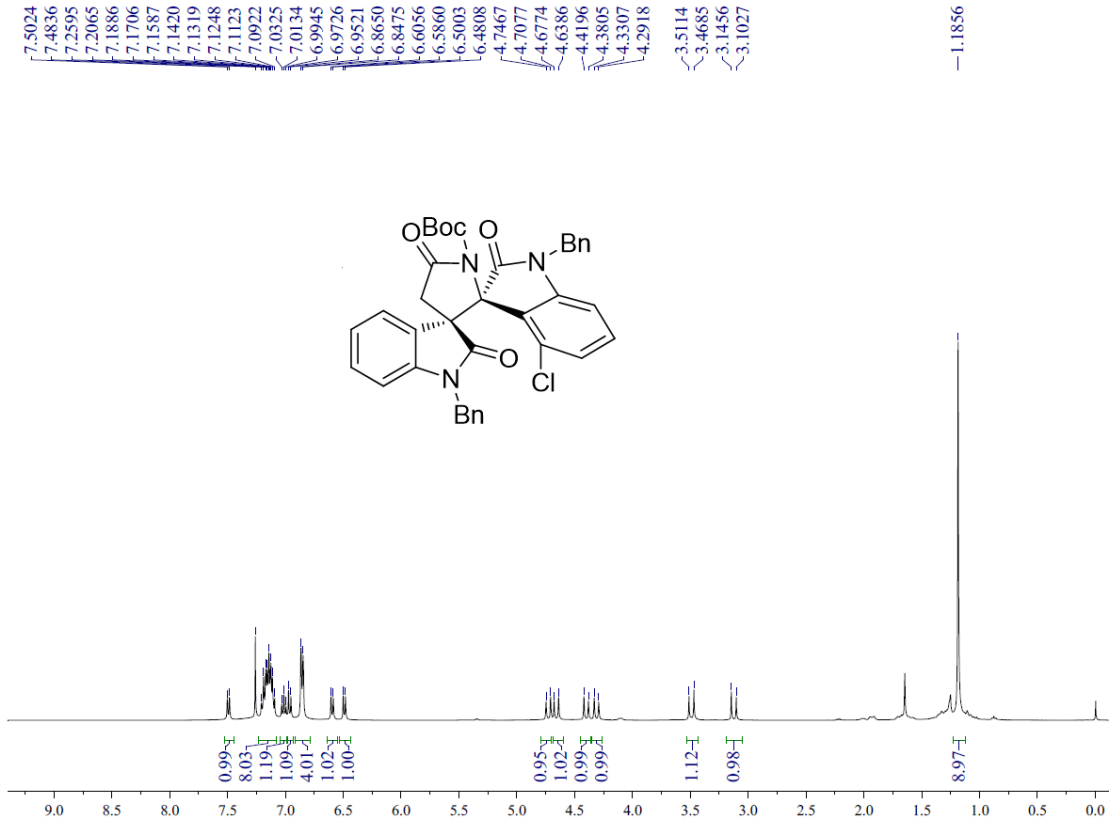
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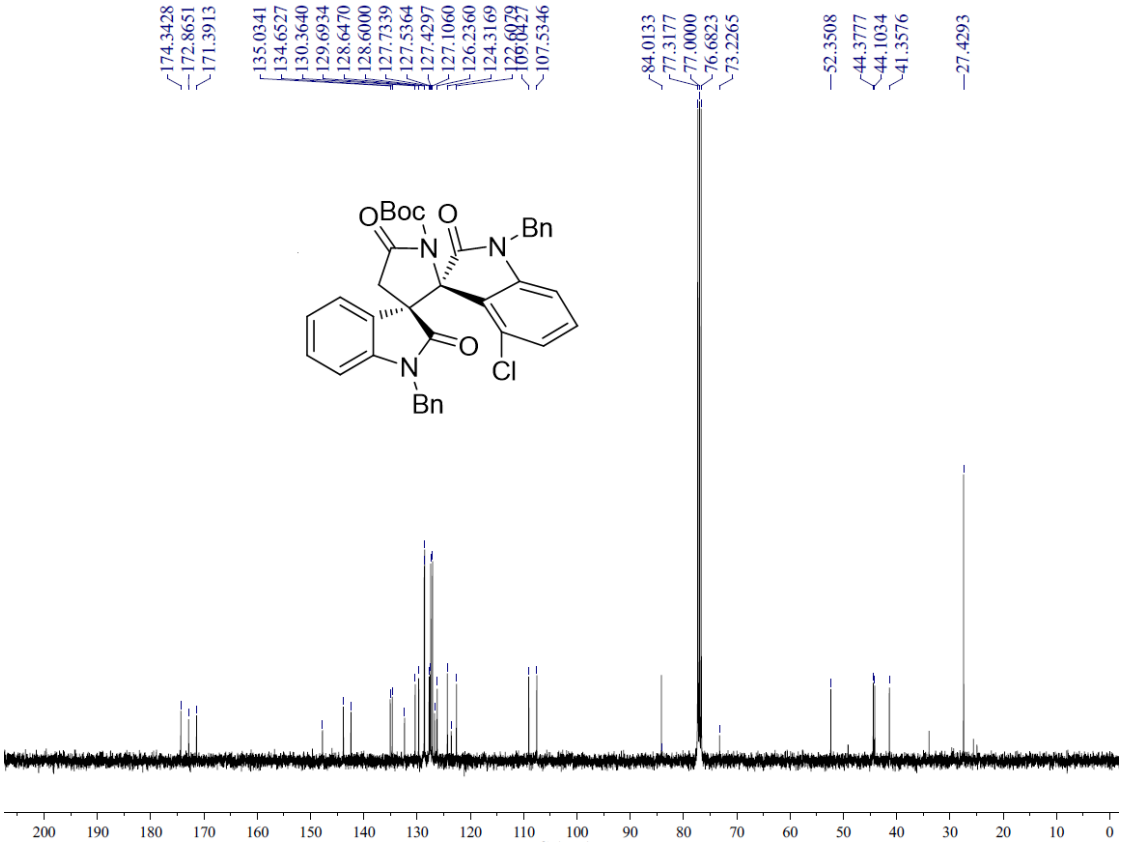
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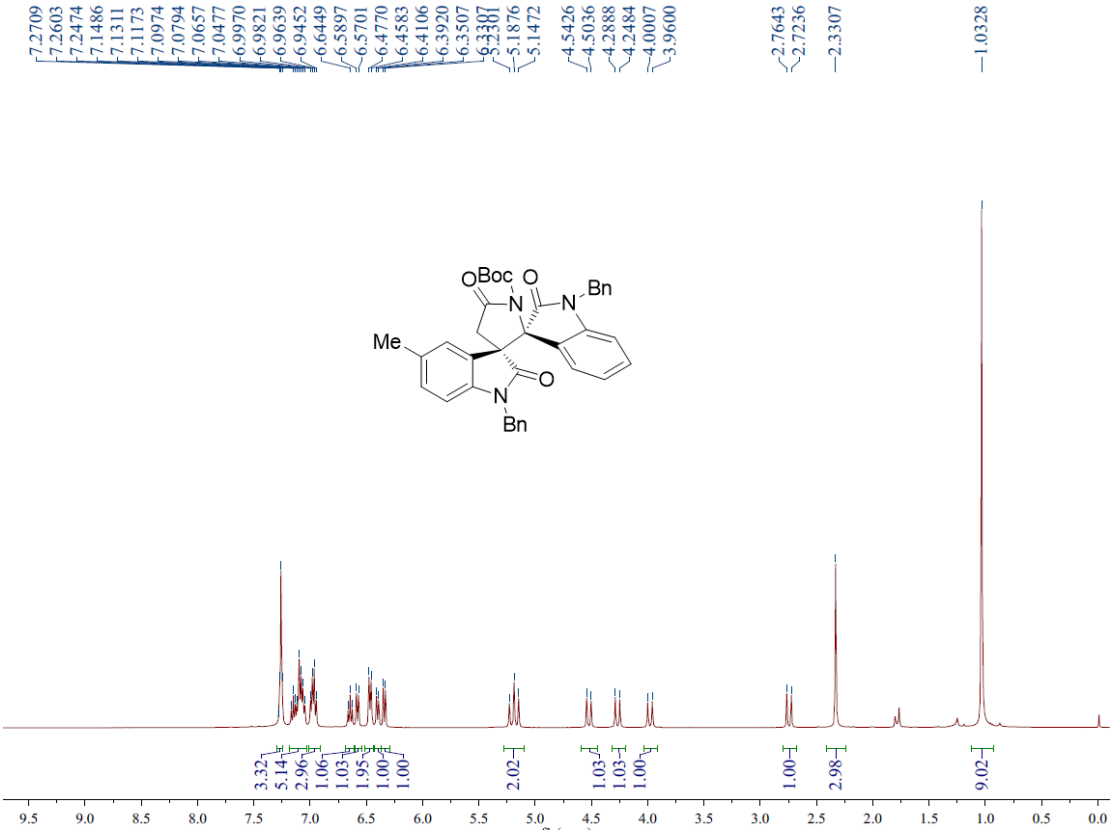
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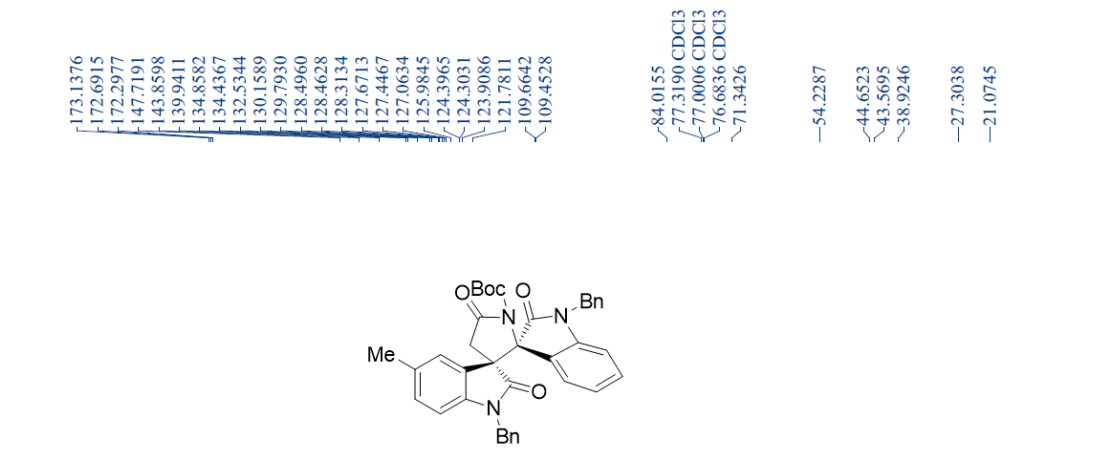
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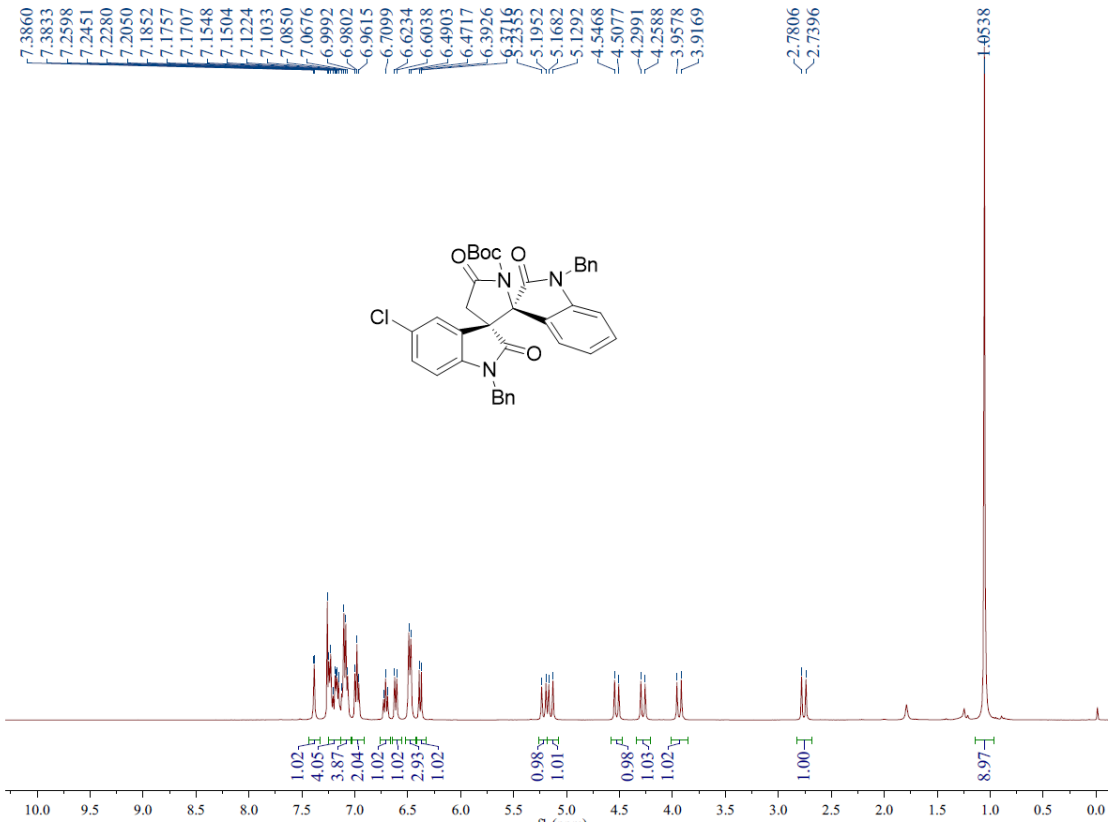
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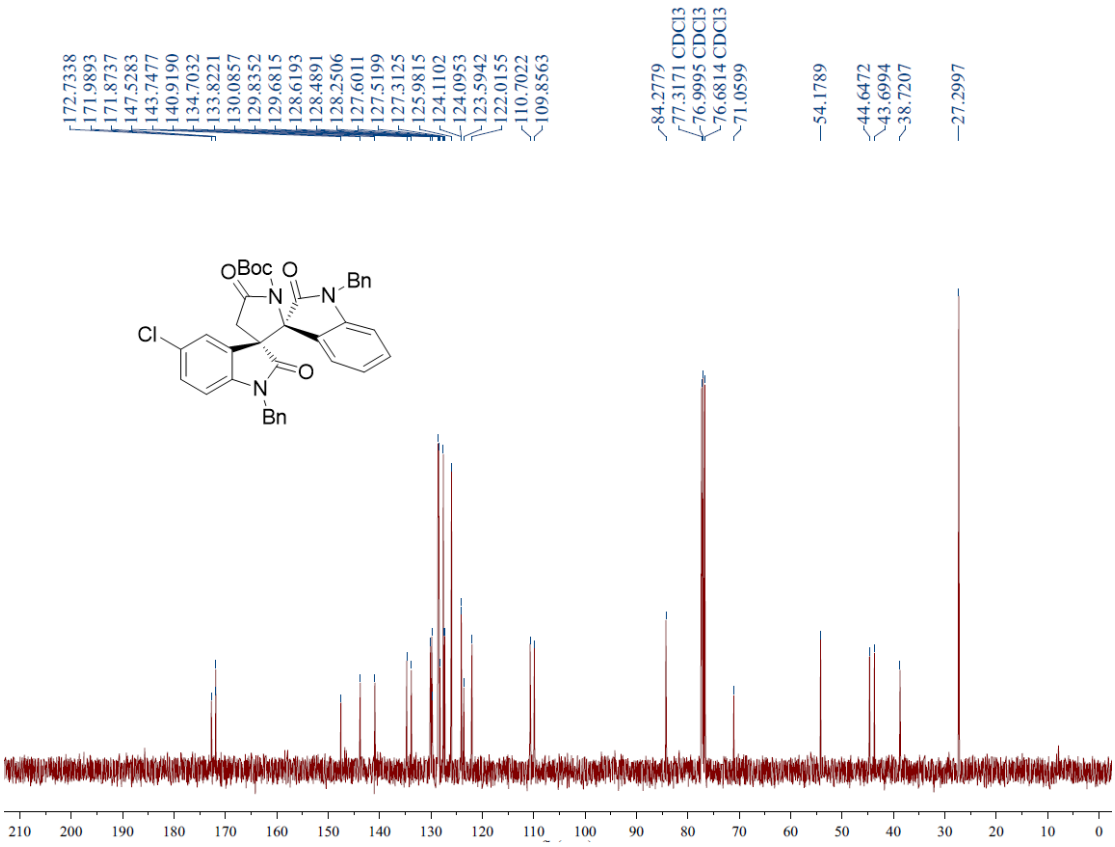
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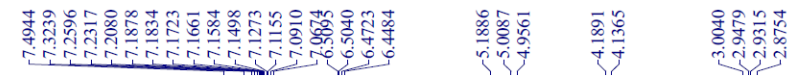
8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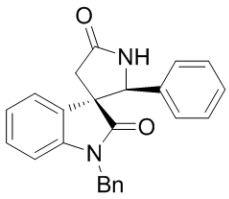
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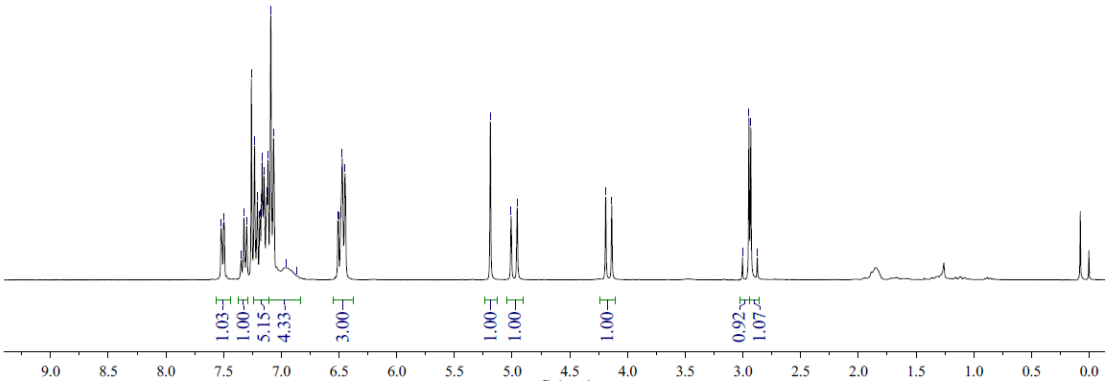
Bn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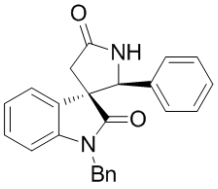
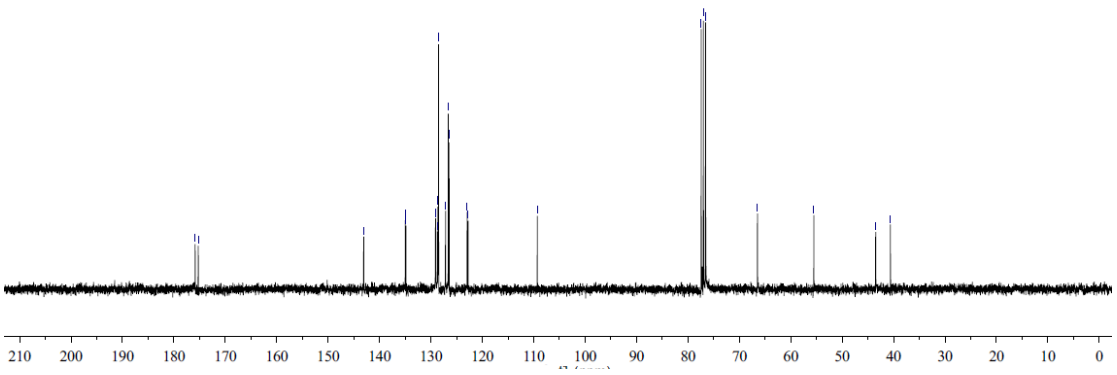
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0


175.8569
175.2458
143.0759
129.1010
128.6476
128.5121
127.1561
126.6050
126.4650
77.4232
76.9997
76.5760
66.4821
55.5179
43.4871
40.6259


O
NH
O
N
Bn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10
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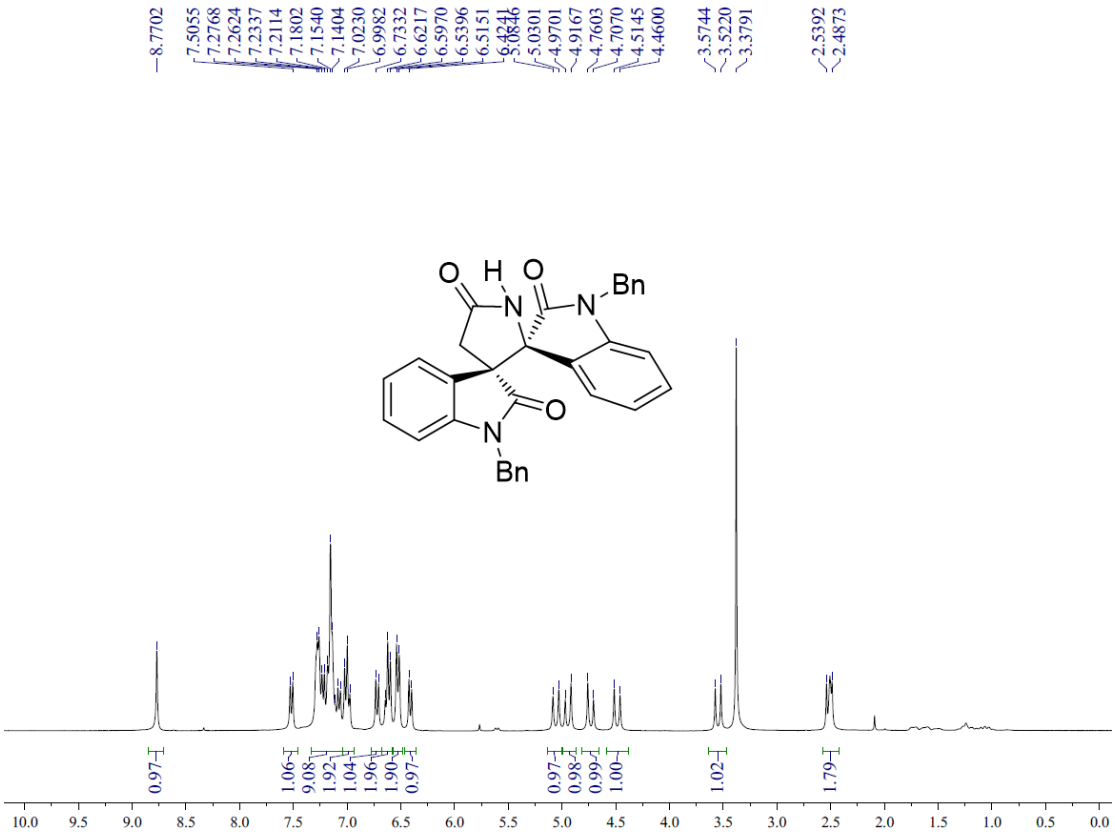
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7.2337
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7.1802
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7.1404
7.0230
6.9982
6.7332
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6.5970
6.5396
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4.9701
4.9167
4.7603
4.7070
4.5145
4.4600
3.5744
3.5220
3.3791
2.5392
2.4873
O
H
N
O
N
Bn
O
N
Bn
0.97
1.06
9.08
1.92
1.04
1.96
1.90
0.97
0.97
0.98
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1.00
1.02
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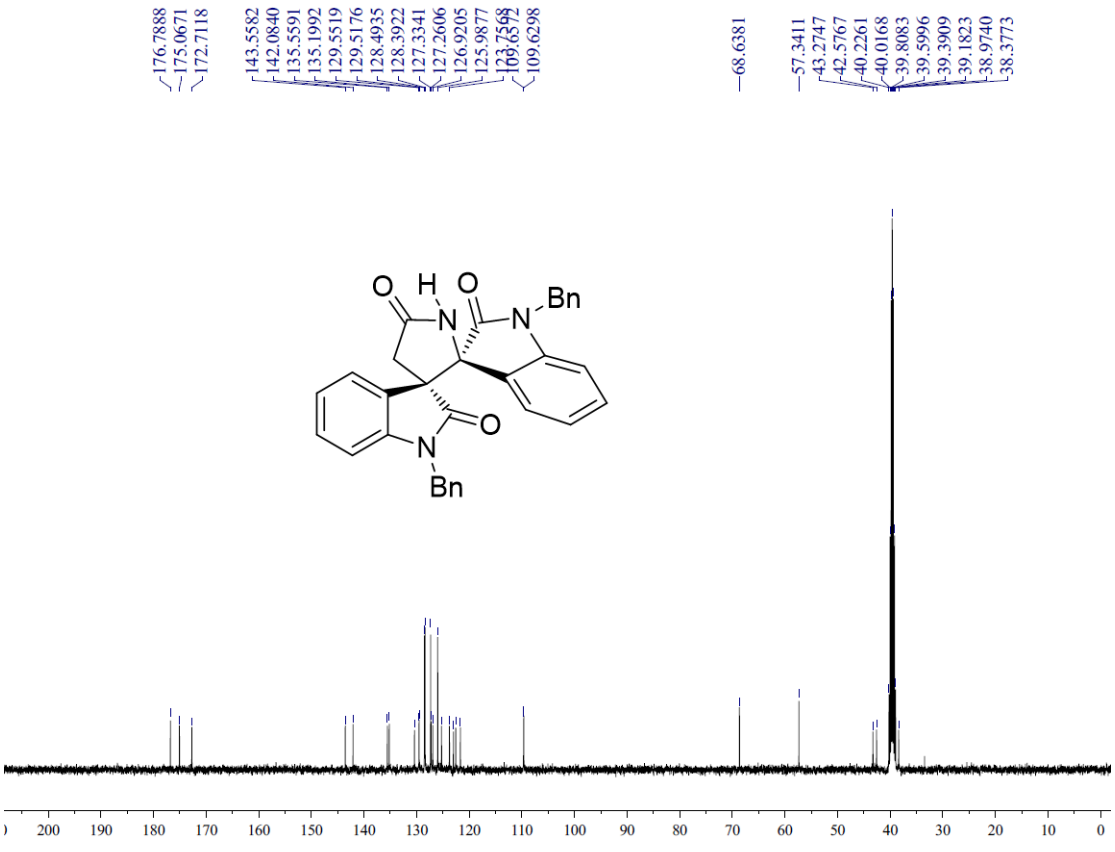
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68.6381
57.3411
43.2747
42.5767
40.2261
40.0168
39.8083
39.5996
39.3909
39.1823
38.9740
38.3773
O
H
N
O
N
Bn
O
N
Bn
200
190
180
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50
40
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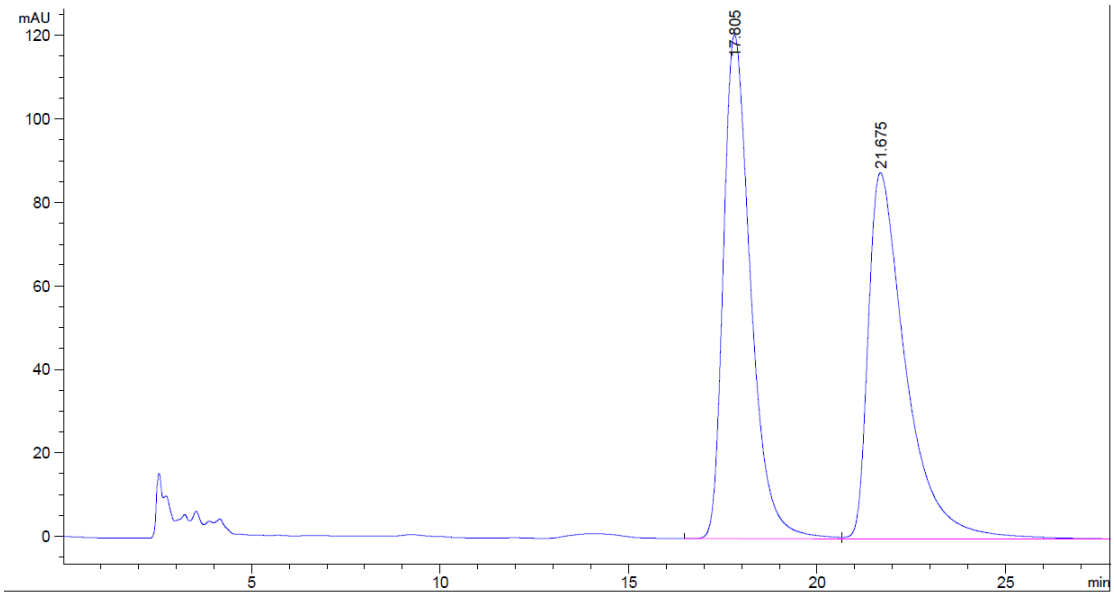
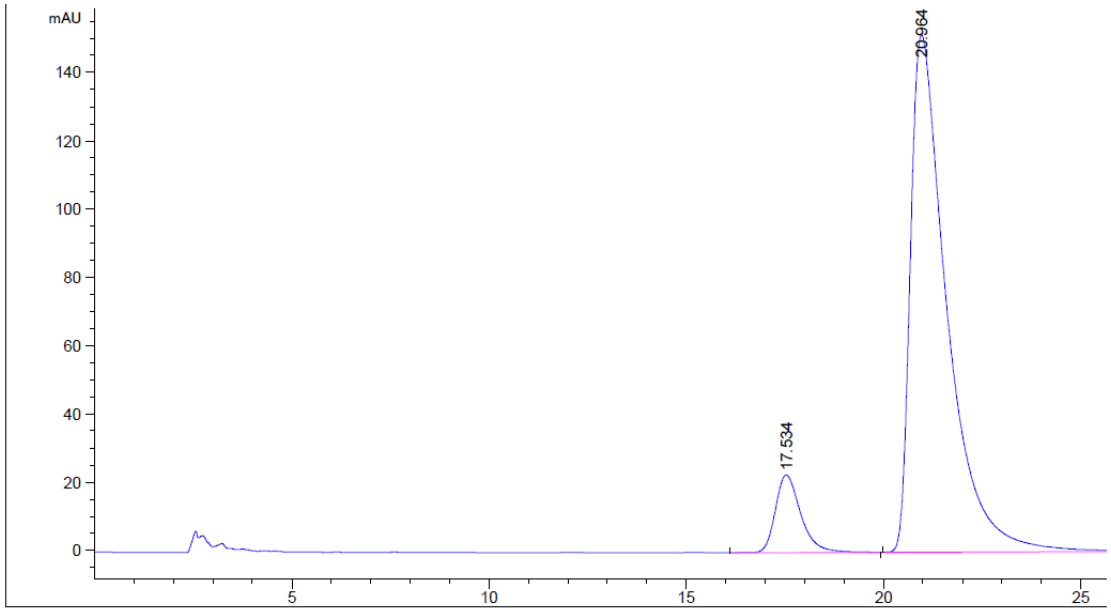
0

HPLC spectra of 3a

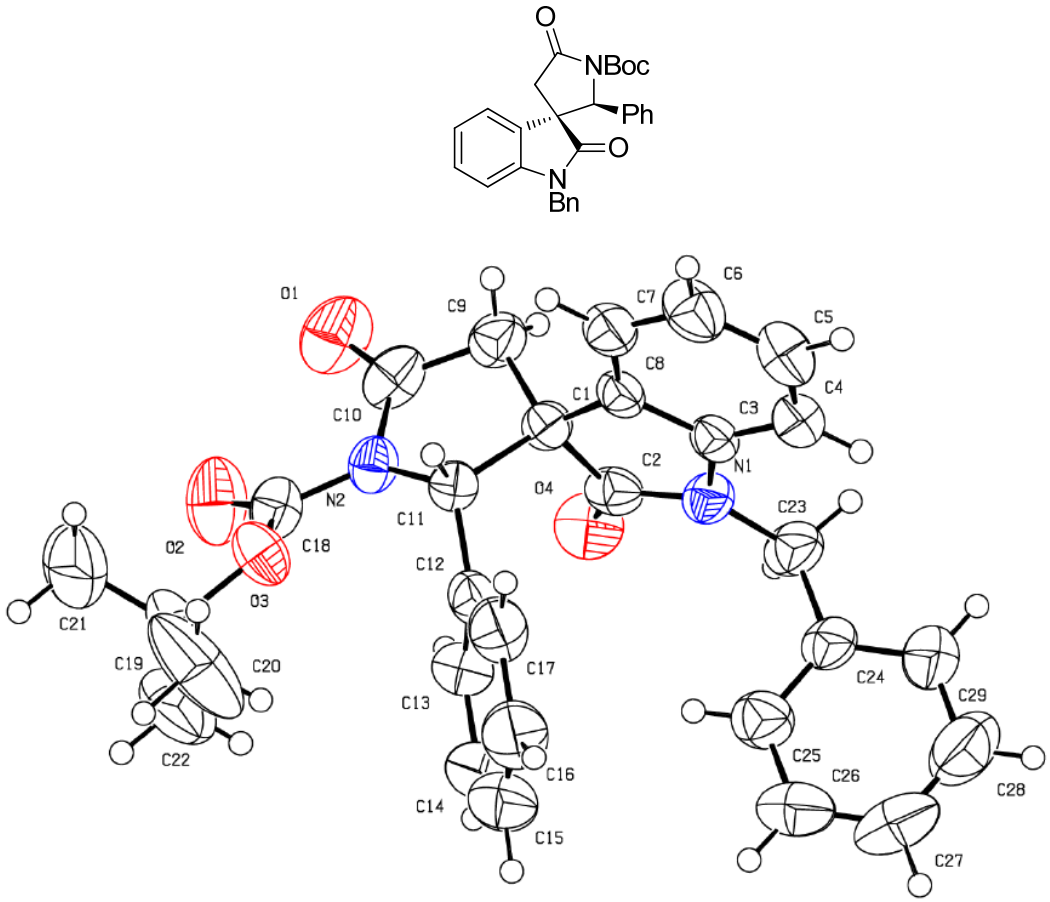


Peak #	Ret. Time [min]	Type	Width [min]	Area [mAu*S]	Height [mAu]	Area %
1	17.805	BV	0.7686	6073.64111	120.79551	50.0708
2	21.675	VBA	1.0399	6056.47607	87.67680	49.9292



Peak #	Ret. Time [min]	Type	Width [min]	Area [mAu*S]	Height [mAu]	Area %
1	17.534	BB	0.6746	1010.31799	22.72895	9.3819
2	20.964	BBA	0.9455	9758.48535	151.50381	90.6181

X-ray structure of **3a**



X-ray structure of **6b**