Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Highly Efficient Enantioselective Synthesis of Bispiro[benzofuran-oxindole-pyrrolidine]s through Organocatalytic Cycloaddition

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1. General Information

Reactions were monitored by thin layer chromatography (TLC), and compounds were visualized with a UV light at 254 nm and 365 nm. Column chromatography purifications were carried out using silica gel. ^{1}H, ^{13}C and ^{19}FNMR spectra were recorded on a Bruker (300 MHz and 400 MHz) spectrometer in $CDCl_3$ using tetramethylsilane (TMS) as internal standard. Data are presented as follows: chemical shift, integration, multiplicity (br = broad, s =singlet, d = doublet, t = triplet, q = quartet, m = multiplet) and coupling constant in Hertz (Hz). Mass peaks are identified by the corresponding *m/z* values. The ee values determination was carried out using chiral high-performance liquid chromatography(HPLC) with Chiracel IA column. Optical rotations were measured on a digital polarimeter and are reported as follows: $[\alpha]_D^T$ (1 g/100 mL, $CHCl_3$).

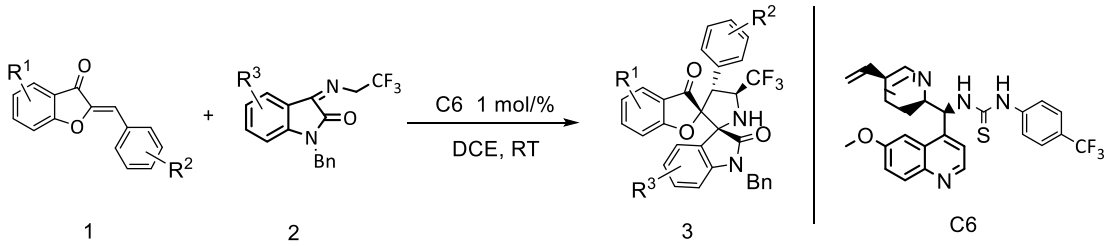
All solvents were obtained from commercial sources and were purified according to standard procedures. The starting materials (Z)-2-benzylidenebenzofuran-3(2H)-one and (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one were prepared according to literature method.[1,2]

References:

[1] (a) Wu, D.; Mei, H.; Tan, P.; Lu, W.; Zhu, J.; Wang, W.; Huang, J.; Li, J. Tetrahedron Lett 2015, 56, 4383–4387. (b) Nakano, H.; Saito, N.; Parker, L.; Tada, Y.; Abe, M.; Tsuganezawa, K.; Nagano, T. *J. Med. Chem.* 2012, **55**, 5151–5164

[2] Li, X.; Su, J.; Liu, Z.; Zhu, Y.; Dong, Z.; Qiu, S.; Wang, J.; Lin, L.; Shen, Z.; Yan, W.; Wang, K.; Wang, R. *Org. Lett.* 2016, **18**, 950–959.

2. Representative Procedure

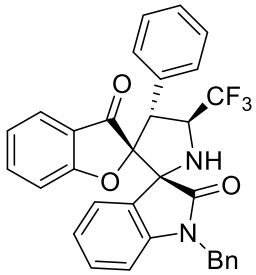


To a solution of quinine derived thiourea organocatalyst **C6** (1 mg, 0.002mmol) and substrate **1**(0.2mmol) in dry 1,2-dichloroethanewas added substrate **2**(0.3 mmol)(1.0mL) at rt. The reaction mixture was monitored by TLC inspection. The solvent was evaporated under reduced pressure and the crude residue was purified on silica gel flash column chromatography using ethyl acetate/hexanes (1/10) eluent to give the corresponding cycloadduct **3aa-3aj and 3ba-3ta**.

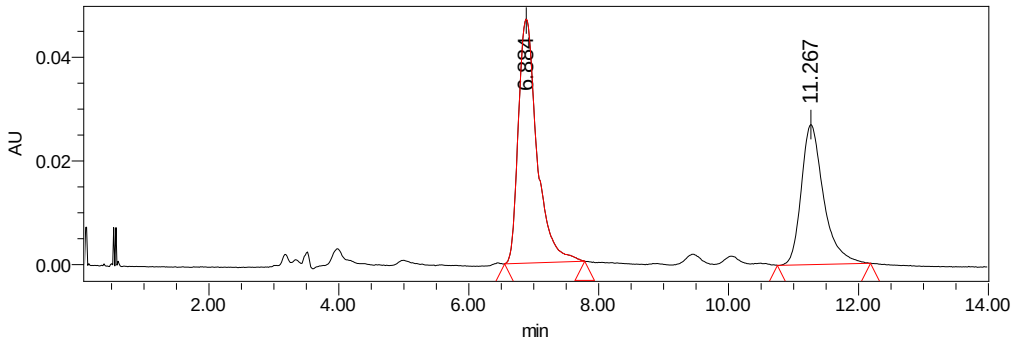
Racemates were prepared following the general procedure, yet catalyzed by the racemic mixture of two asymmetric catalysts.

3. Analytical Data and HPLC Chromatogram of the Products

(2R,2'R,4'R,5'S)-1''-benzyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3aa)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 76.3 mg (0.24 mmol, 1.2 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 80.0 mg (73% yield) compound **3aa** was obtained as white solid, mp = 117 - 119 °C. $[\alpha]_D^{20}$ = -122 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.4 min and t_{minor} = 7.0 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.4 Hz, 1H), 7.43 – 7.27 (m, 7H), 7.27 – 7.19 (m, 2H), 7.19 – 7.07 (m, 3H), 7.07 – 6.95 (m, 2H), 6.83 (t, *J* = 7.5 Hz, 1H), 6.73 (t, *J* = 7.4 Hz, 1H), 6.47 (d, *J* = 7.8 Hz, 1H), 5.38 – 5.10 (m, 2H), 4.99 – 4.80 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 2.69 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.3, 174.1, 170.1, 143.6, 138.4, 135.5, 131.4, 130.5, 129.3, 128.8, 128.3, 128.1, 127.6, 125.9, 125.6 (q, J_{C-F} = 278.2 Hz), 124.2, 123.7, 122.5, 122.2, 121.8, 112.3, 109.4, 97.8, 72.1, 62.4 (q, J_{C-F} = 30.7 Hz), 51.1, 44.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.2. HRMS (ESI) *m/z* calcd for $C_{32}H_{23}F_3N_2NaO_3$ $[M+Na]^+$: 563.1553, found 563.1566.



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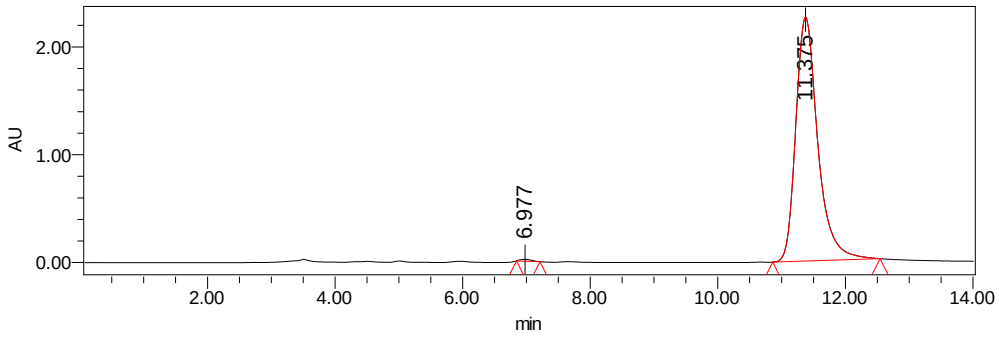

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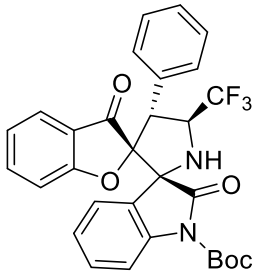
2	11.376	31340227	99.72	1350973	bv	Unknown

tert-butyl (2R,2'R,4'R,5'S)-2'',3-dioxo-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro [benzofuran-2,3'-pyrrolidine-2',3''-indoline]-1''-carboxylate (3ab)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 78.7 mg (0.24 mmol, 1.2 equiv) tert-butyl (Z)-2-oxo-3-((2,2,2-trifluoroethyl)imino)indoline-1-carboxylate, 30.0 mg (27% yield) compound **3ab** was obtained as white solid, mp = 173 - 174 °C. $[\alpha]_D^{20}$ = -3 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 2% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 5.0 min and t_{minor} = 4.0 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.1 Hz, 1H), 7.58 (d, *J* = 6.8 Hz, 1H), 7.42 – 7.31 (m, 3H), 7.26 – 7.18 (m, 2H), 7.18 – 7.09 (m, 3H), 7.02 (dd, *J* = 7.6, 0.9 Hz, 1H), 6.97 (d, *J* = 8.5 Hz, 1H), 6.77 (t, *J* = 7.5 Hz, 1H), 5.00 (d, *J* = 10.9 Hz, 1H), 4.92 – 4.78 (m, 3H), 2.55 (s, 1H), 1.67 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.0, 172.2, 170.1, 149.0, 140.3, 138.4, 131.0, 130.7, 129.2, 128.3, 128.2, 125.6, 125.5 (q, J_{C-F} = 277.8 Hz), 124.3, 124.1, 122.7, 122.2, 121.4, 115.2, 112.2, 97.4, 84.6, 72.1, 62.3 (q, J_{C-F} = 30.9 Hz), 50.8, 28.2. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.8. HRMS (ESI) *m/z* calcd for $C_{30}H_{25}F_3N_2NaO_5$ $[M+Na]^+$: 573.1608, found 573.1617.

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1	4.003	5439239	49.33	853401	bb	Unknown
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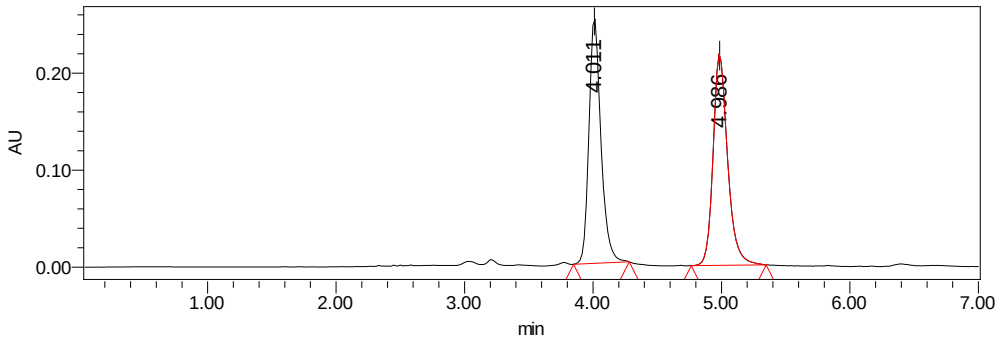

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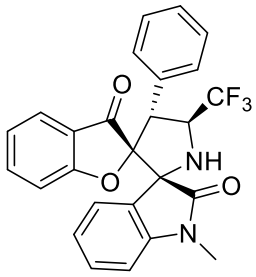
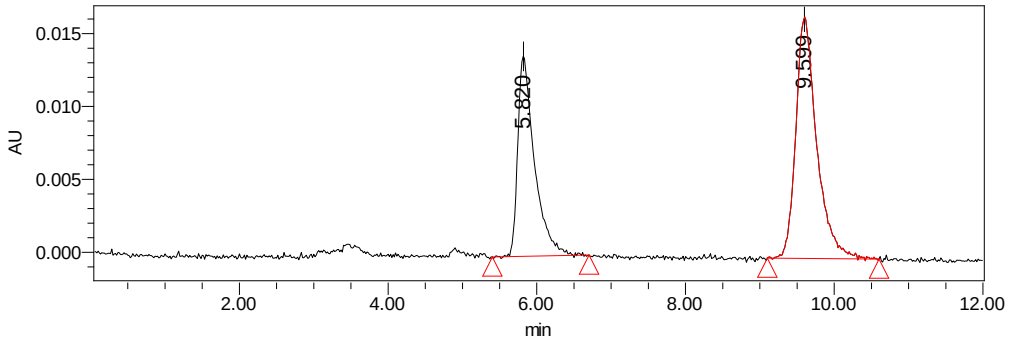
1	4.011	1640000	48.90	251207	bb	Unknown
2	4.986	1713513	51.10	217770	bb	Unknown

(2R,2'R,4'R,5'S)-1''-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ac)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 57.8 mg (0.24 mmol, 1.2 equiv) (Z)-1-methyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 90.0 mg (97% yield) compound **3ac** was obtained as yellow solid, mp = 109 - 111 °C. $[\alpha]_D^{20}$ = -156 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/

DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.1 min and t_{minor} = 6.6 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.52 (d, *J* = 6.8 Hz, 1H), 7.45 – 7.33 (m, 3H), 7.25 – 7.07 (m, 5H), 7.02 (d, *J* = 8.4 Hz, 1H), 6.88 (td, *J* = 7.6, 0.8 Hz, 1H), 6.78 (t, *J* = 7.5 Hz, 1H), 6.66 (d, *J* = 7.8 Hz, 1H), 5.14 (d, *J* = 10.9 Hz, 1H), 4.91 – 4.74 (m, 1H), 3.20 (s, 3H), 2.36 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.3, 174.0, 170.1, 144.4, 138.3, 131.4, 130.6, 129.2, 128.3, 128.1, 125.7, 125.7 (q, J_{C-F} = 270.0 Hz), 124.3, 123.6, 122.4, 122.1, 121.7, 112.3, 108.3, 97.8, 72.0, 62.4 (q, J_{C-F} = 30.7 Hz), 50.9, 26.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.8. HRMS (ESI) *m/z* calcd for $C_{26}H_{20}F_3N_2O_3$ $[M+H]^+$: 465.1421, found 465.1429.



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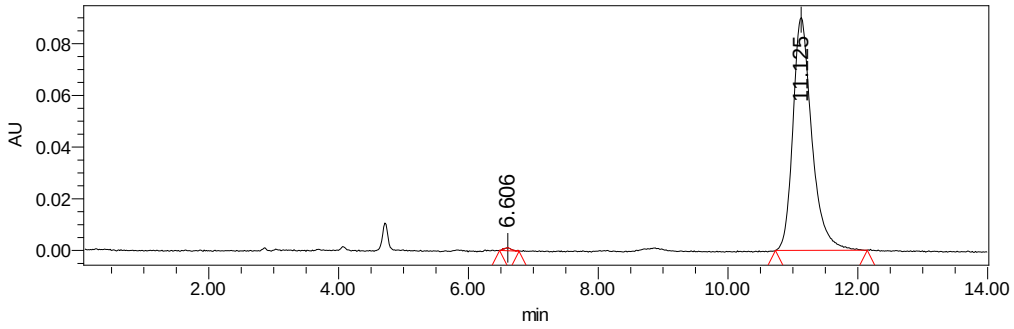

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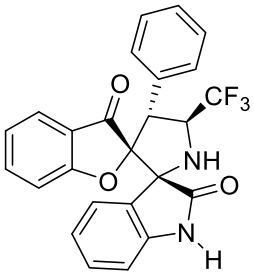
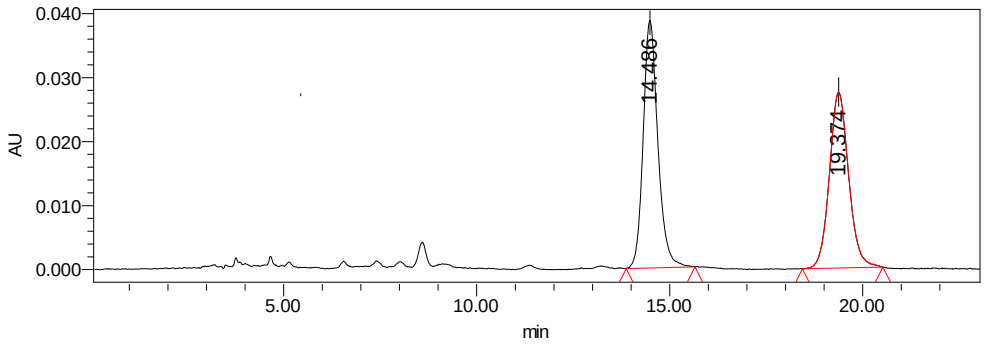
1	6.606	9213	0.50	1121	bb	Unknown
2	11.125	1819938	99.50	90113	bb	Unknown

(2R,2'R,4'R,5'S)-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ad)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 54.7 mg (0.24 mmol, 1.2 equiv) (Z)-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 70.0 mg (78% yield) compound **3ad** was obtained as yellow solid, mp = 109 - 110 °C. $[\alpha]_D^{20}$ = -136 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 97% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/ DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 19.1 min and t_{minor} = 14.3 min. ^1H NMR (300 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.45 – 7.34 (m, 3H), 7.23 (d, *J* = 0.7 Hz, 1H), 7.19 – 7.08 (m, 4H), 7.02 (d, *J* = 8.4 Hz, 1H), 6.86 (td, *J* = 7.6, 0.8 Hz, 1H), 6.82 – 6.72 (m, 2H), 5.10 (d, *J* = 10.9 Hz, 1H), 4.92 – 4.75 (m, 1H), 2.64 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 175.8, 170.1, 141.6, 138.4, 131.4, 130.6, 129.3, 128.3, 128.1, 126.1, 125.7 (q, J_{C-F} = 269.9 Hz), 124.3, 124.0, 122.4, 122.2, 121.7, 112.3, 110.3, 97.6, 72.4, 62.4 (q, J_{C-F} = 30.7 Hz), 50.9. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.3. HRMS (ESI) *m/z* calcd for $C_{25}H_{18}F_3N_2O_3$ $[M+H]^+$: 451.1264, found 451.1268.



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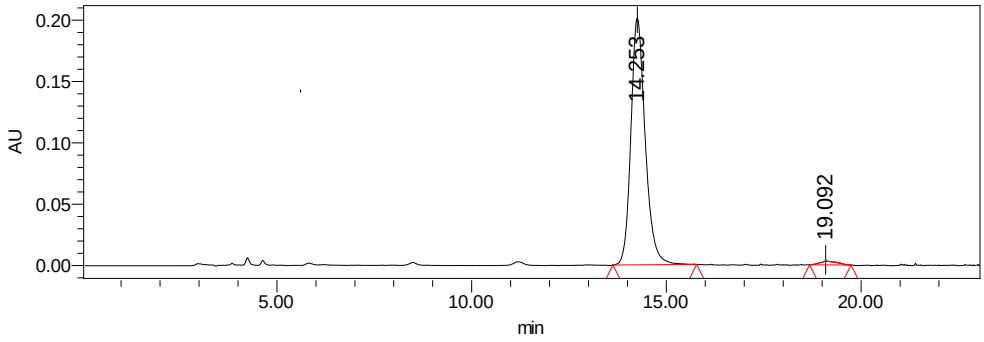

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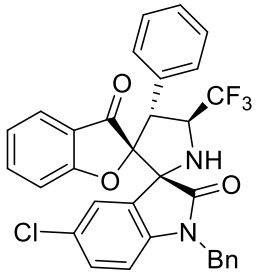
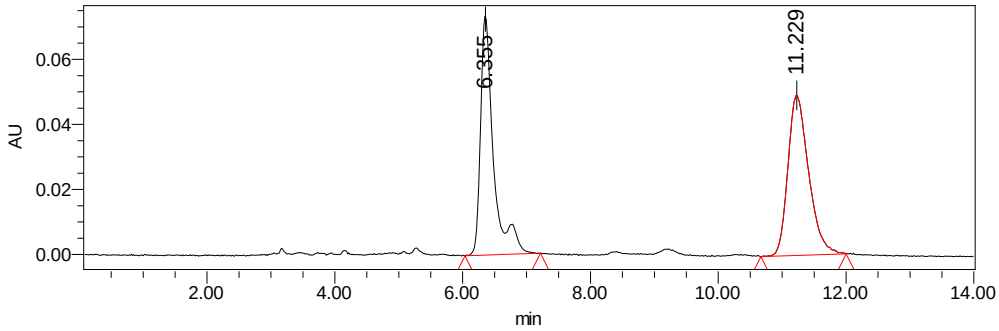
1	14.253	5149014	98.27	201169	bb	Unknown
2	19.092	90441	1.73	3397	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-5''-chloro-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ae)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 105.6 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-5-chloro-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 114.0 mg (99% yield) compound **3ae** was obtained as pale yellow solid, mp = 139 - 140 °C. $[\alpha]_D^{20}$ = -21 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 10.9 min and t_{minor} = 6.4 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 2.0 Hz, 1H), 7.43 – 7.36 (m, 3H), 7.33 – 7.22 (m, 6H), 7.17 – 7.08 (m, 3H), 7.04 (d, *J* = 8.4 Hz, 1H), 6.95 (dd, *J* = 8.4, 2.1 Hz, 1H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.38 (d, *J* = 8.4 Hz, 1H), 5.25 – 5.10 (m, 2H), 4.96 – 4.79 (m, 1H), 4.55 (d, *J* = 15.7 Hz, 1H), 2.97 (d, *J* = 8.1 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.0, 173.8, 170.1, 142.0, 138.7, 135.0, 131.2, 130.3, 129.3, 128.8, 128.4, 128.2, 127.8, 127.8, 127.6, 126.6, 125.6 (q, J_{C-F} = 278.4 Hz), 125.5, 124.1, 122.4, 121.6, 112.4, 110.4, 97.5, 72.2, 62.4 (q, J_{C-F} = 30.7 Hz), 51.1, 44.5. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.2. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1157.



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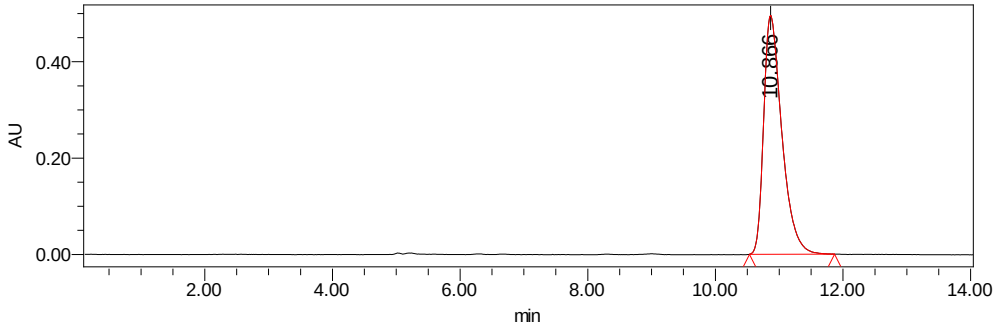
2	11.229	1112502	51.82	49276	bb	Unknown



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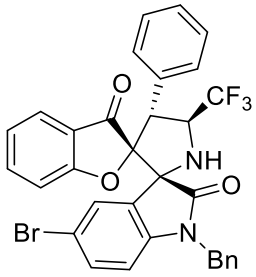
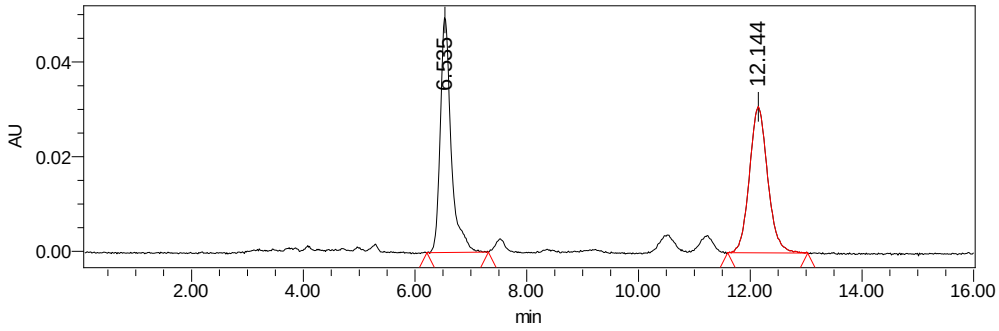
(2R,2'R,4'R,5'S)-1''-benzyl-5''-bromo-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3af)

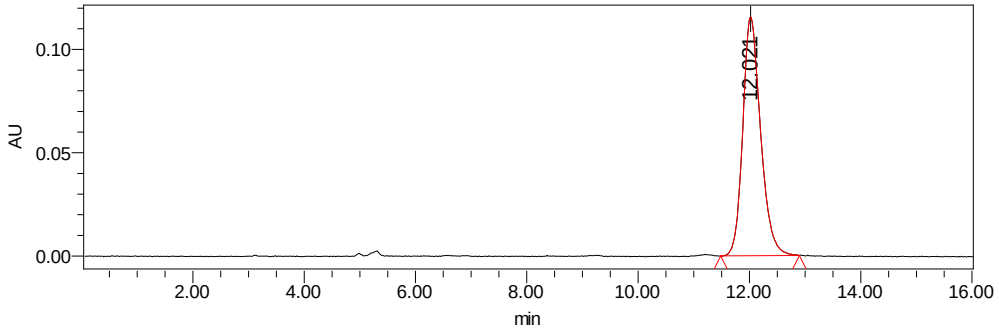


From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 119.1 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-5-bromo-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 116.2 mg (94% yield) compound **3af** was obtained as pale yellow solid, mp = 141 - 142 °C. $[\alpha]_D^{20}$ = +20 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.0

min and t_{minor} = 6.5 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.69 (d, *J* = 1.9 Hz, 1H), 7.46 – 7.36 (m, 3H), 7.33 – 7.22 (m, 6H), 7.19 – 7.08 (m, 4H), 7.05 (d, *J* = 8.4 Hz, 1H), 6.78 (t, *J* = 7.5 Hz, 1H), 6.34 (d, *J* = 8.4 Hz, 1H), 5.26 – 5.10 (m, 2H), 4.98 – 4.73 (m, 1H), 4.54 (d, *J* = 15.6 Hz, 1H), 2.96 (s, 1H). ^{13}C NMR(100 MHz, $CDCl_3$) δ 194.0, 173.6, 170.1, 142.5, 138.7, 134.9, 133.2, 131.1, 129.3, 129.3, 128.9, 128.4, 128.3, 127.8, 127.6, 125.8, 125.5 (q, J_{C-F} = 278.2 Hz), 124.2, 122.5, 121.6, 115.0, 112.4, 110.9, 97.5, 72.1, 62.4 (q, J_{C-F} = 30.8 Hz), 51.0, 44.5. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.2. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}BrF_3N_2NaO_3$ $[M+Na]^+$: 641.0658, found 641.0647.

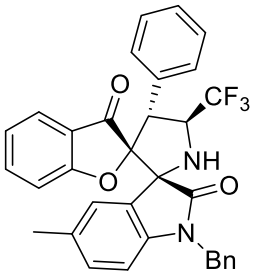


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.535	670150	48.94	49704	bb	Unknown
2	12.144	699059	51.06	30755	bb	Unknown

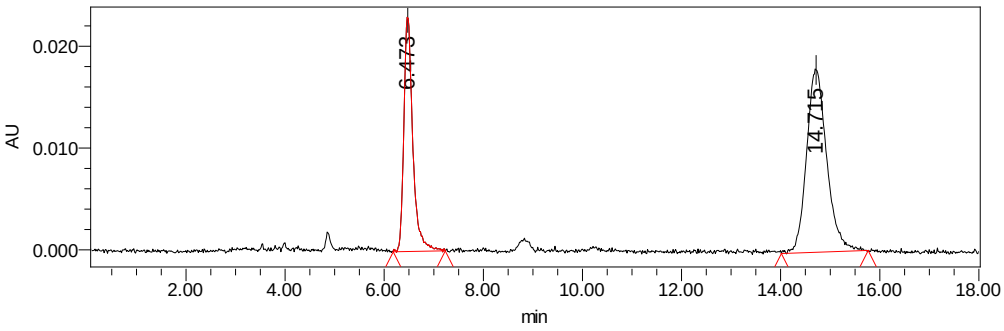


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	12.021	2569843	100.00	115642	bb	Unknown

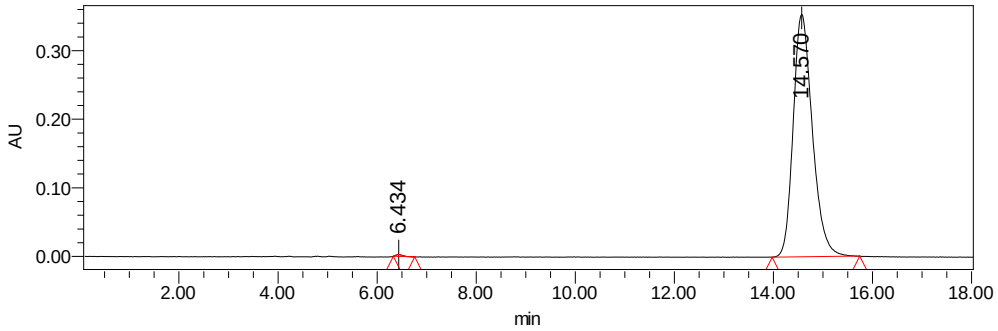
(2R,2'R,4'R,5'S)-1''-benzyl-5''-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ag)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 99.6 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-5-methyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 107.2 mg (97% yield) compound **3ag** was obtained as pale yellow solid, mp = 133 - 134 °C. $[\alpha]_D^{20}$ = -61 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 14.6 min and t_{minor} = 6.4 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.52 – 7.38 (m, 3H), 7.36 – 7.25 (m, 5H), 7.25 – 7.16 (m, 2H), 7.16 – 7.04 (m, 3H), 7.01 (d, *J* = 8.3 Hz, 1H), 6.84 – 6.74 (m, 1H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.34 (d, *J* = 8.0 Hz, 1H), 5.33 – 5.09 (m, 2H), 4.99 – 4.77 (m, 1H), 4.53 (d, *J* = 15.6 Hz, 1H), 2.99 (d, *J* = 7.6 Hz, 1H), 2.14 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 174.1, 170.3, 141.0, 138.4, 135.6, 132.1, 131.6, 130.8, 129.3, 128.7, 128.3, 128.1, 127.6, 126.9, 125.8 (q,J_{C-F} = 278.1 Hz), 124.1, 122.2, 121.8, 112.2, 109.2, 97.9, 72.4, 62.5 (q,J_{C-F} = 30.6 Hz), 51.0, 44.4, 21.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.0. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1699.

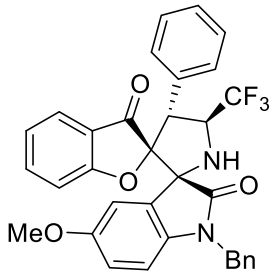


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.473	289382	36.26	23133	bb	Unknown
2	14.715	508704	63.74	17913	bb	Unknown

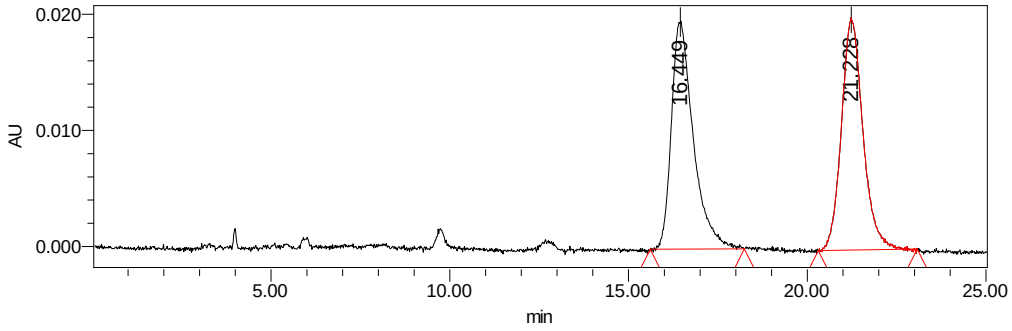


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.434	25310	0.27	2822	bb	Unknown
2	14.570	9493334	99.73	353380	bb	Unknown

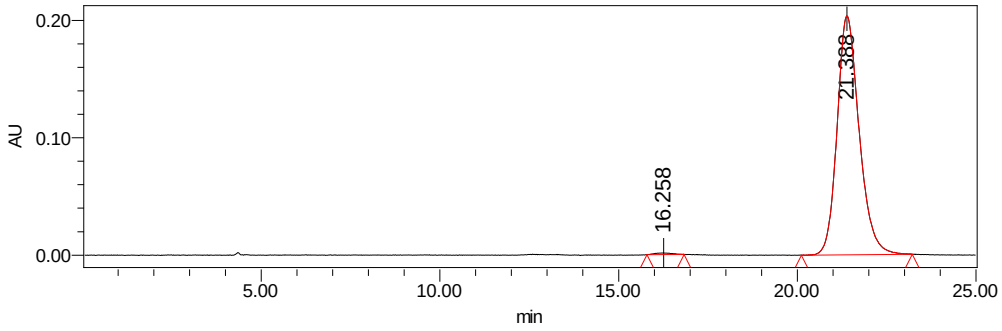
(2R,2'R,4'R,5'S)-1''-benzyl-5''-methoxy-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ah)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 104.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-5-methoxy-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 100.0 mg (88% yield) compound **3ah** was obtained as pale yellow solid, mp = 134 - 135 °C. $[\alpha]_D^{20}$ = -42 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 21.4 min and t_{minor} = 16.3 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.42 – 7.38 (m, 2H), 7.38 – 7.25 (m, 5H), 7.25 – 7.17 (m, 3H), 7.16 – 7.04 (m, 3H), 7.01 (d, *J* = 8.4 Hz, 1H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.51 (dd, *J* = 8.6, 2.6 Hz, 1H), 6.36 (d, *J* = 8.5 Hz, 1H), 5.32 – 5.11 (m, 2H), 4.98 – 4.78 (m, 1H), 4.52 (d, *J* = 15.6 Hz, 1H), 3.62 (s, 3H), 2.99 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.2, 173.9, 170.1, 155.7, 138.4, 136.8, 135.5, 131.4, 129.3, 128.7, 128.3, 128.1, 127.6, 125.7 (q, J_{C-F} = 278.3 Hz), 124.9, 124.2, 122.3, 121.8, 115.1, 113.1, 112.2, 109.9, 97.8, 72.3, 62.4 (q, J_{C-F} = 30.7 Hz), 55.8, 51.0, 44.5. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.0. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_4$ $[M+Na]^+$: 593.1659, found 593.1648.

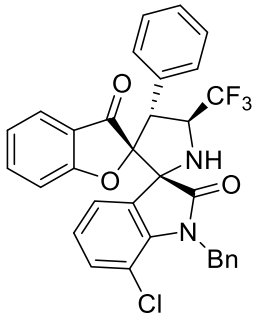


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	16.449	836772	50.01	19642	bb	Unknown
2	21.228	836595	49.99	19895	bb	Unknown



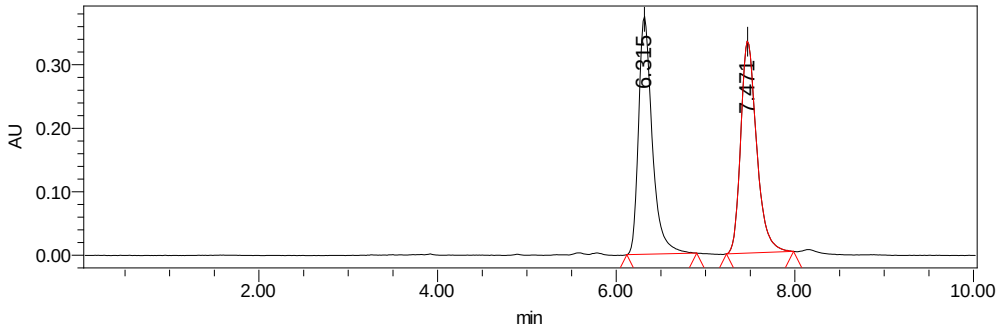
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	16.258	47182	0.54	1386	bb	Unknown
2	21.388	8713050	99.46	203348	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-7''-chloro-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ai)

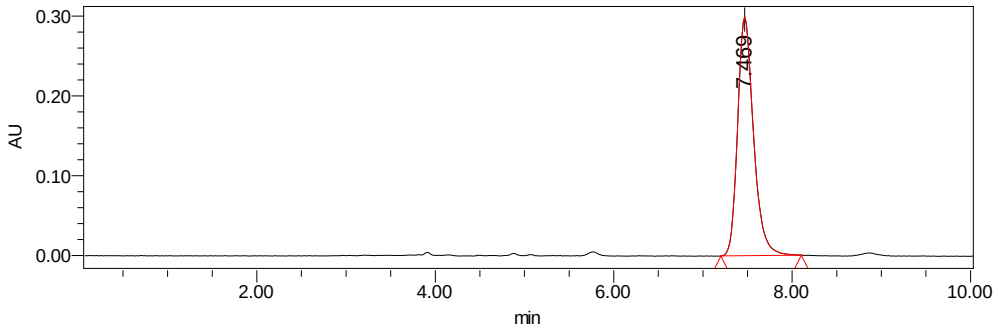


From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 105.9 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-7-chloro-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 97.4 mg (85% yield) compound **3ai** was obtained as pale yellow yellow solid, mp = 110 - 111 °C. $[\alpha]_D^{20}$ = -235 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and

^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 7.5 min and t_{minor} = 6.3 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.52 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.45 – 7.35 (m, 3H), 7.33 – 7.21 (m, 6H), 7.17 – 7.07 (m, 3H), 7.06 – 6.97 (m, 2H), 6.81 (td, *J* = 7.7, 2.9 Hz, 2H), 5.39 (d, *J* = 16.3 Hz, 1H), 5.26 (d, *J* = 16.3 Hz, 1H), 5.16 (d, *J* = 10.9 Hz, 1H), 4.94 – 4.75 (m, 1H), 2.45 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.0, 174.8, 170.0, 139.8, 138.6, 137.3, 133.2, 131.1, 129.3, 128.5, 128.4, 128.2, 127.1, 126.7, 125.6 (q, J_{C-F} = 278.3 Hz), 124.8, 124.3, 123.4, 122.4, 121.6, 115.5, 112.4, 97.5, 71.5, 63.9 (q, J_{C-F} = 30.7 Hz), 51.1, 45.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1153.

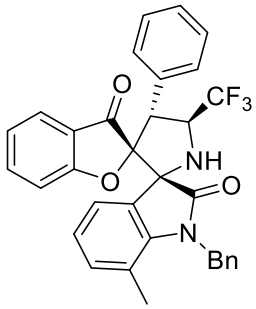


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.315	3978461	49.05	374774	bb	Unknown
2	7.471	4132582	50.95	334213	bb	Unknown

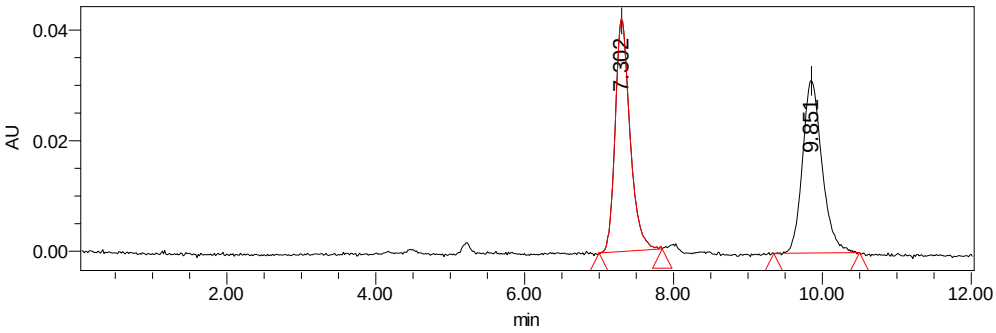


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.469	3695587	100.00	299055	bb	Unknown

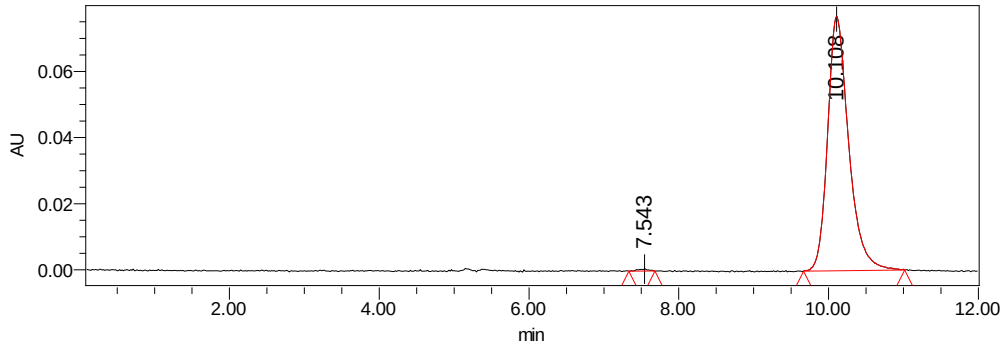
(2R,2'R,4'R,5'S)-1''-benzyl-7''-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3aj)



From 44.4 mg (0.20 mmol) (Z)-2-benzylidenebenzofuran-3(2H)-one and 99.6 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-7-methyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 101.9 mg (92% yield) compound **3aj** was obtained as pale yellow yellow solid, mp = 140 - 141 °C. $[\alpha]_D^{20}$ = -191 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 10.1 min and t_{minor} = 7.5 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.47 (dd, *J* = 6.9, 1.6 Hz, 1H), 7.43 – 7.35 (m, 3H), 7.34 – 7.17 (m, 6H), 7.17 – 7.06 (m, 3H), 7.02 (d, *J* = 8.4 Hz, 1H), 6.90 – 6.71 (m, 3H), 5.29 (d, *J* = 17.0 Hz, 1H), 5.23 (d, *J* = 10.9 Hz, 1H), 5.05 (d, *J* = 16.9 Hz, 1H), 4.94 – 4.78 (m, 1H), 2.61 (s, 1H), 2.10 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.5, 175.3, 170.1, 141.7, 138.4, 137.5, 134.6, 131.5, 129.3, 128.8, 128.3, 128.1, 127.1, 126.0, 125.7 (q, J_{C-F} = 278.3 Hz), 124.4, 124.2, 123.9, 122.6, 122.2, 121.8, 120.0, 112.4, 97.8, 71.5, 62.4(q, J_{C-F} = 30.7 Hz), 51.1, 45.6, 18.8. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.0. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1101.

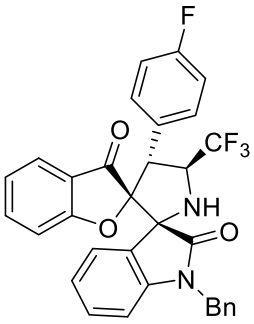


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.302	582570	50.57	42132	bb	Unknown
2	9.851	569519	49.43	31236	bb	Unknown

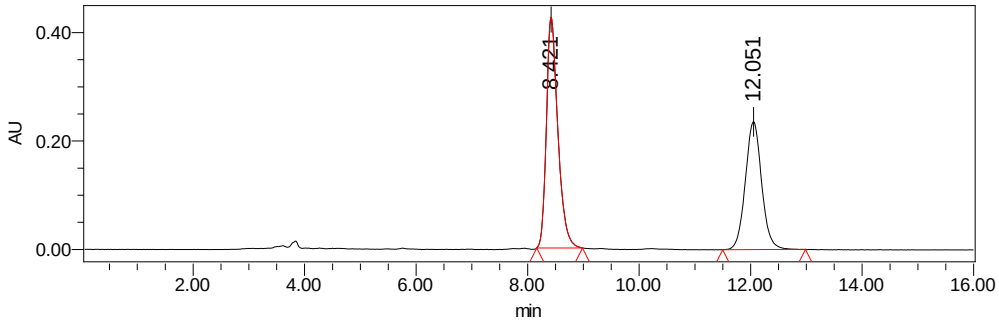


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.543	5955	0.39	585	bb	Unknown
2	10.108	1504144	99.61	76852	bb	Unknown

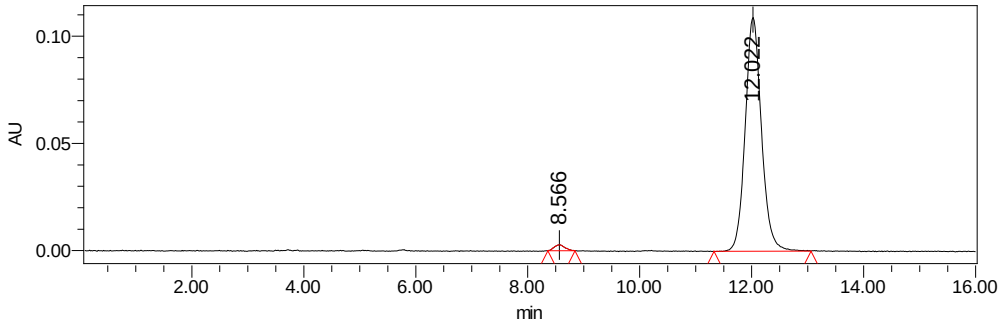
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(4-fluorophenyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ba)



From 48.0 mg (0.20 mmol) (Z)-2-(4-fluorobenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 97.0 mg (87% yield) compound **3ba** was obtained as pale yellow solid, mp = 121 - 122 °C. $[\alpha]_D^{20}$ = -116 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 97% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.0 min and t_{minor} = 8.6 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.55 (d, *J* = 7.5 Hz, 1H), 7.48 – 7.28 (m, 7H), 7.28 – 7.17 (m, 2H), 7.08 – 6.97 (m, 2H), 6.91 – 6.74 (m, 4H), 6.48 (d, *J* = 7.8 Hz, 1H), 5.32 – 5.13 (m, 2H), 4.94 – 4.74 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 3.00 (d, *J* = 8.1 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.2, 174.1, 170.1, 162.4 (d, J_{C-F} =245.6 Hz), 143.5, 138.6, 135.4, 130.9, 130.9, 130.6, 128.8, 127.7, 127.6, 127.3 (d, J_{C-F} = 3.05 Hz), 125.9, 125.6 (q, J_{C-F} = 278.3 Hz), 124.2, 123.6, 122.6, 122.4, 121.7, 115.5, 115.3, 112.4, 109.5, 97.6, 72.1, 62.6 (q, J_{C-F} = 30.7 Hz), 50.3, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.2, -113.7. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}F_4N_2NaO_3$ $[M+Na]^+$: 581.1459, found 581.1450.

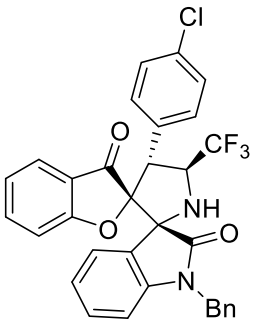


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	8.421	6066435	55.91	426683	bb	Unknown
2	12.051	4783240	44.09	235991	bb	Unknown



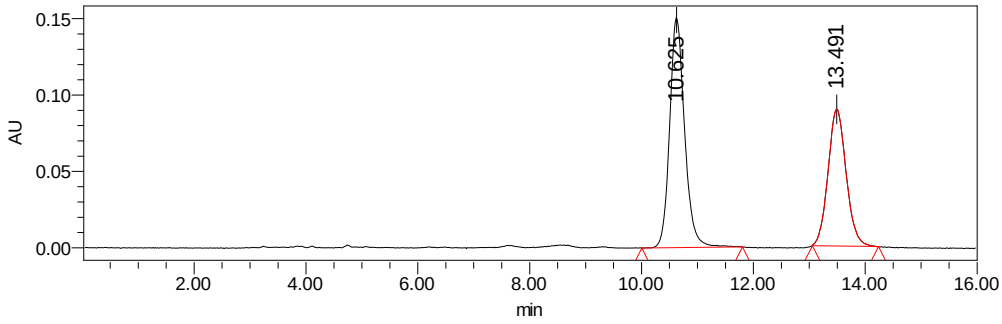
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	8.566	35557	1.59	2722	bb	Unknown
2	12.022	2199395	98.41	108987	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4'-(4-chlorophenyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ca)

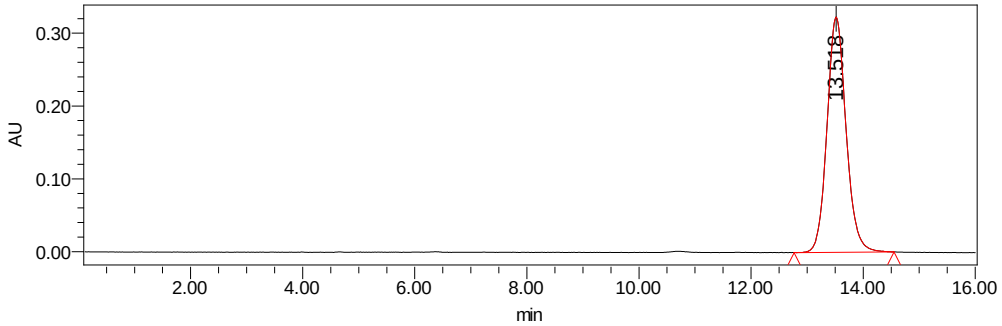


From 51.0 mg (0.20 mmol) (Z)-2-(4-chlorobenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 105.0 mg (92% yield) compound **3ca** was obtained as pale yellow solid, mp = 134 - 135 °C. $[\alpha]_D^{20}$ = -99 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR

analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 13.5 min and t_{minor} = 10.6 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.57 (d, *J* = 6.8 Hz, 1H), 7.42 – 7.36 (m, 1H), 7.36 – 7.28 (m, 6H), 7.26 – 7.20 (m, 2H), 7.10 (d, *J* = 8.5 Hz, 2H), 7.03 (d, *J* = 8.3 Hz, 1H), 7.01 – 6.94 (m, 1H), 6.88 – 6.80 (m, 1H), 6.76 (t, *J* = 7.4 Hz, 1H), 6.47 (d, *J* = 7.7 Hz, 1H), 5.31 – 5.11 (m, 2H), 4.93 – 4.76 (m, 1H), 4.57 (d, *J* = 15.7 Hz, 1H), 3.06 (d, *J* = 7.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.2, 174.1, 170.1, 143.5, 138.7, 135.4, 134.1, 130.7, 130.6, 130.1, 128.8, 128.6, 127.7, 127.6, 126.0, 125.6 (q, J_{C-F} = 278.3 Hz), 124.2, 123.4, 122.6, 122.5, 121.6, 112.4, 109.5, 97.5, 72.3, 62.5 (q, J_{C-F} = 30.7 Hz), 50.3, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1160.

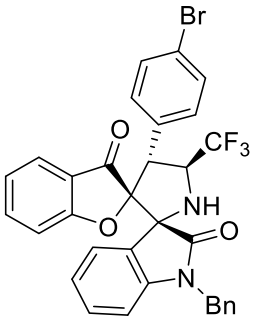


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	10.625	2785400	58.07	150503	bb	Unknown
2	13.491	2011078	41.93	89675	bb	Unknown

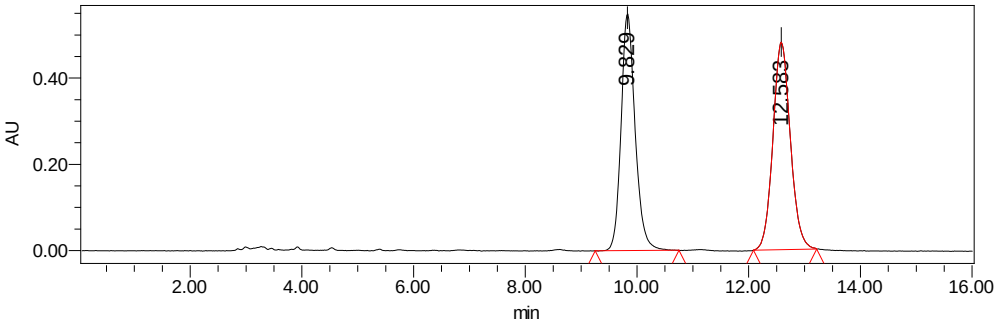


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	13.518	7624889	100.00	323070	bb	Unknown

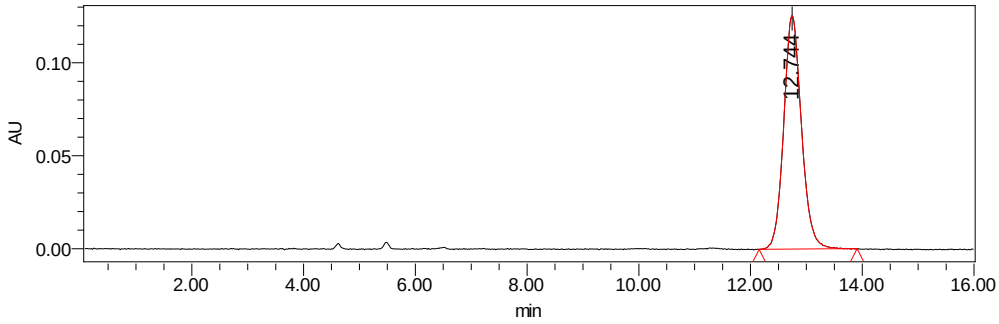
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(4-bromophenyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3da)



From 60.2 mg (0.20 mmol) (Z)-2-(4-bromobenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 119 mg (96% yield) compound **3da** was obtained as pale yellow solid, mp = 128 - 129 °C. $[\alpha]_D^{20}$ = -92 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.7 min and t_{minor} = 9.8 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.52 (d, *J* = 6.9 Hz, 1H), 7.47 – 7.39 (m, 1H), 7.36 – 7.30 (m, 4H), 7.29 – 7.22 (m, 6H), 7.06 – 6.97 (m, 2H), 6.88 – 6.77 (m, 2H), 6.48 (d, *J* = 7.7 Hz, 1H), 5.27 – 5.12 (m, 2H) , 4.87 – 4.73 (m, 1H), 4.56 (d, *J* = 15.6 Hz, 1H), 2.39 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.2, 174.0, 170.1, 143.5, 138.8, 135.4, 131.6, 131.0, 130.7, 128.8, 127.7, 127.6, 126.0, 125.6 (q, J_{C-F} = 278.3 Hz), 124.2, 123.4, 122.7, 122.5, 122.4, 121.6, 112.4, 109.5, 97.5, 72.3, 62.5 (q, J_{C-F} = 30.7 Hz), 50.4, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}BrF_3N_2NaO_3$ $[M+Na]^+$: 641.0658, found 641.0662.

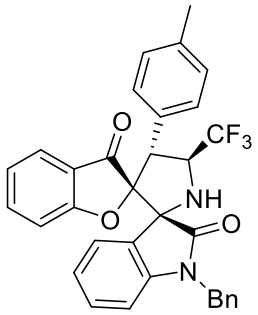


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	9.829	9604835	47.95	548649	bb	Unknown
2	12.583	10424115	52.05	481019	bb	Unknown

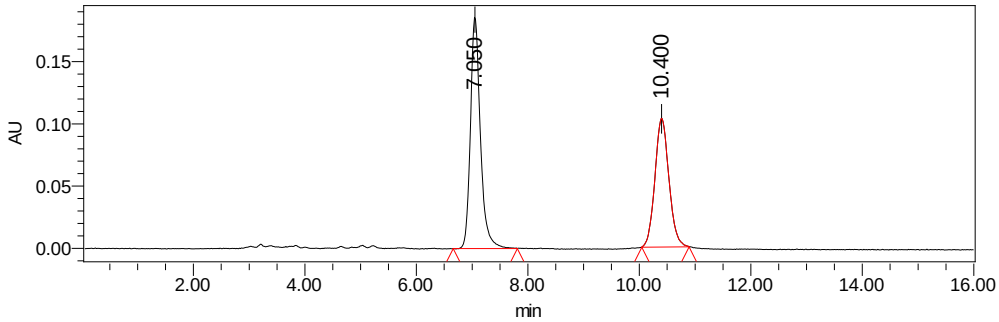


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	12.744	2771140	100.00	125620	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4'-(p-tolyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ea)



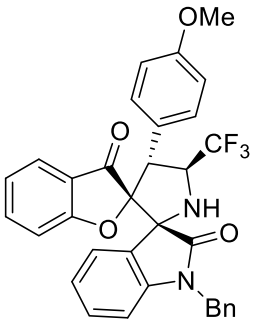
From 47.2 mg (0.20 mmol) (Z)-2-(4-methylbenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 100.0 mg (90% yield) compound **3ea** was obtained as pale yellow solid, mp = 126 - 127 °C. $[\alpha]_D^{20}$ = -113 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 10.3 min and t_{minor} = 7.0 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.53 (d, *J* = 6.9 Hz, 1H), 7.42 – 7.36 (m, 1H), 7.35 – 7.32 (m, 3H), 7.32 – 7.19 (m, 5H), 7.04 – 6.92 (m, 4H), 6.88 – 6.72 (m, 2H), 6.47 (d, *J* = 7.8 Hz, 1H), 5.22 (d, *J* = 15.7, 1H), 5.16 (d, *J* = 10.9, 1H), 4.89 – 4.75 (m, 1H), 4.56 (d, *J* = 15.6 Hz, 1H), 2.38 (s, 1H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.5, 174.2, 170.2, 143.5, 138.5, 137.8, 135.5, 130.5, 129.2, 129.1, 128.8, 128.4, 127.6, 126.0, 125.8 (q, J_{C-F} = 278.3 Hz), 124.1, 123.7, 122.6, 122.2, 121.8, 112.5, 109.4, 97.9, 72.3, 62.6 (q, J_{C-F} = 30.5 Hz), 50.7, 44.4, 21.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1702.



	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.050	2308269	56.20	186115	bb	Unknown
2	10.400	1799141	43.80	103260	bb	Unknown

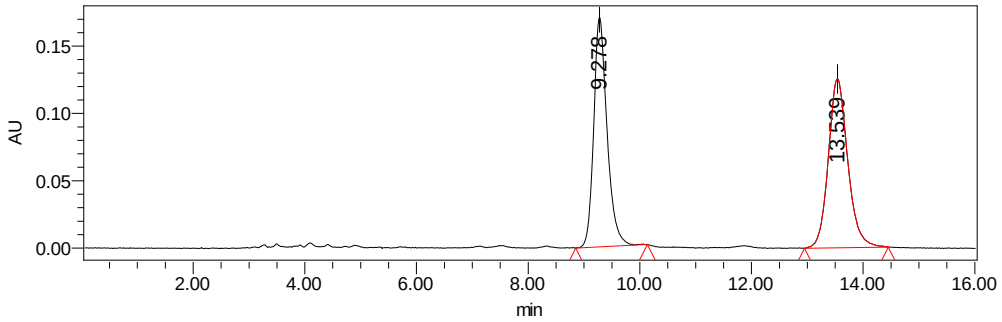
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.035	25048	0.60	2536	bb	Unknown
2	10.311	4169716	99.40	234677	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4'-(4-methoxyphenyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3fa)

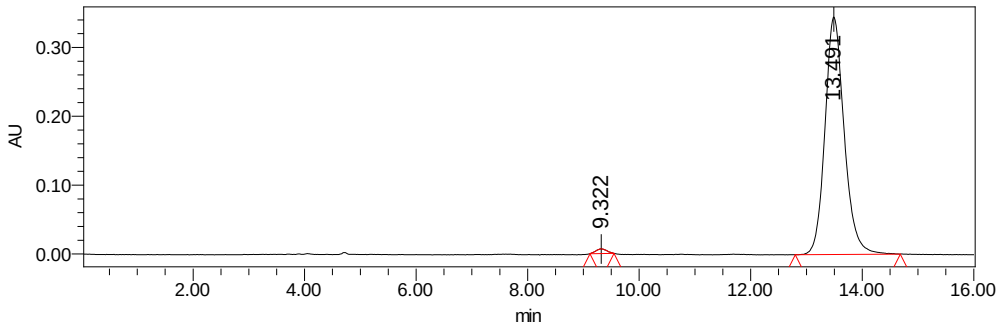


From 50.4 mg (0.20 mmol) (Z)-2-(4-methoxybenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 98.0 mg (86% yield) compound **3fa** was obtained as pale yellow solid, mp = 150 - 151 °C. $[\alpha]_D^{20}$ = -107 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F

NMR analysis. 98% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} =13.5 min and t_{minor} = 9.3 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.0 Hz, 1H), 7.39 – 7.27 (m, 7H), 7.26 – 7.19 (m, 2H), 7.02 (d, *J* = 8.5 Hz, 1H), 7.01 – 6.93 (m, 1H), 6.82 (t, *J* = 7.4 Hz, 1H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.66 (d, *J* = 8.7 Hz, 2H), 6.46 (d, *J* = 7.8 Hz, 1H), 5.27 – 5.14 (m, 2H), 4.93 – 4.72 (m, 1H), 4.55 (d, *J* = 15.7 Hz, 1H), 3.61 (s, 3H), 3.02 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.6, 174.2, 170.2, 159.2, 143.5, 138.5, 135.5, 130.5, 130.4, 128.8, 127.6, 127.6, 126.0, 125.8 (q, J_{C-F} = 278.3 Hz), 124.1, 123.7, 123.3, 122.5, 122.2, 121.8, 113.8, 112.4, 109.4, 97.8, 72.2, 62.6 (q, J_{C-F} = 30.4 Hz), 55.0, 50.4, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_4$ $[M+Na]^+$: 593.1659, found 593.1654.

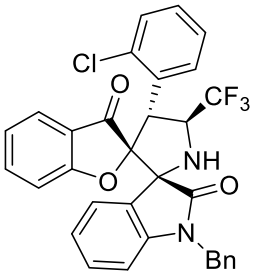


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	9.278	2827577	48.30	170358	bb	Unknown
2	13.539	3026843	51.70	125431	bb	Unknown

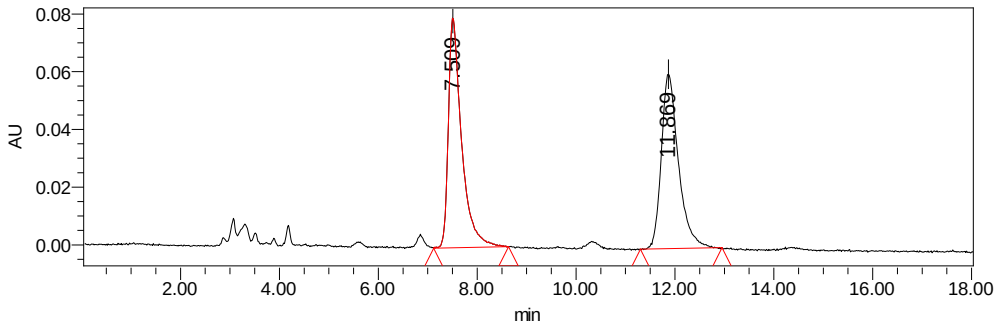


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	9.322	93946	1.13	6804	bb	Unknown
2	13.491	8255339	98.87	345076	bb	Unknown

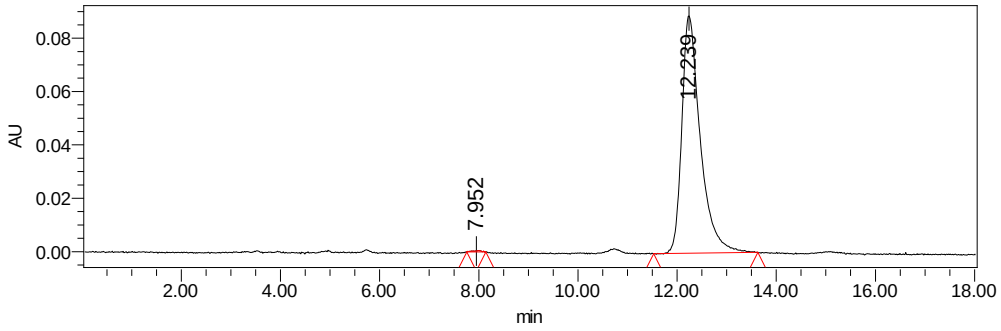
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(2-chlorophenyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ga)



From 51.0 mg (0.20 mmol) (Z)-2-(2-chlorobenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 89.0 mg (78% yield) compound **3ga** was obtained as pale yellow solid, mp = 137 - 138 °C. $[\alpha]_D^{20}$ = -51 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.2 min and t_{minor} = 8.0 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.75 (dd, *J* = 7.8, 1.3 Hz, 1H), 7.58 (d, *J* = 7.5 Hz, 1H), 7.46 – 7.37 (m, 1H), 7.36 – 7.17 (m, 7H), 7.15 – 6.94 (m, 4H), 6.81 (t, *J* = 7.5 Hz, 1H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.44 (d, *J* = 7.8 Hz, 1H), 6.21 (d, *J* = 10.9 Hz, 1H), 5.20 (d, *J* = 15.7 Hz, 1H), 4.85 – 4.66 (m, 1H), 4.60 (d, *J* = 15.7 Hz, 1H), 3.06 (d, *J* = 6.7 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.5, 173.9, 169.9, 143.6, 138.6, 135.5, 135.4, 130.6, 130.2, 130.0, 129.2, 128.7, 127.6, 127.6, 126.5, 126.0, 125.7 (q, J_{C-F} = 276.8 Hz), 123.4, 122.6, 122.4, 112.5, 109.5, 97.4, 72.5, 63.9 (q, J_{C-F} = 30.7 Hz), 45.5, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.4. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1159.

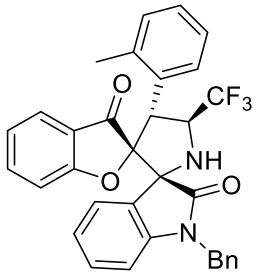


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.509	1481146	50.45	79709	bb	Unknown
2	11.869	1454735	49.55	60572	bb	Unknown

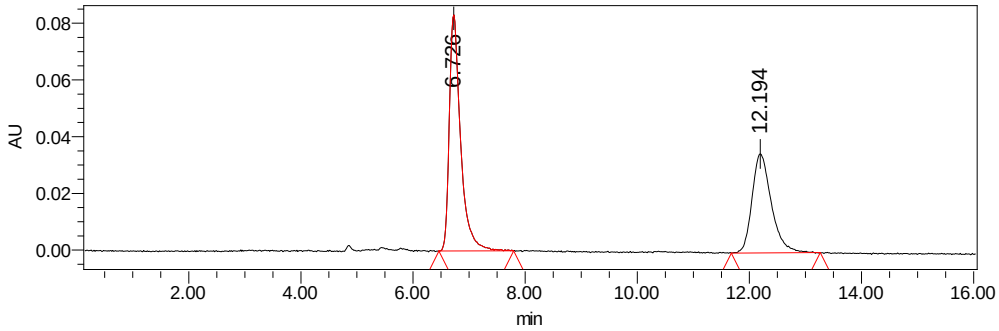


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.952	6652	0.29	413	bb	Unknown
2	12.239	2295603	99.71	88949	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4'-(o-tolyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ha)



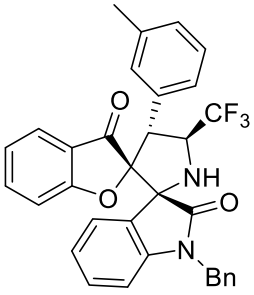
From 47.2 mg (0.20 mmol) (Z)-2-(2-methylbenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 95 mg (86% yield) compound **3ha** was obtained as pale yellow solid, mp =119 - 120 °C. $[\alpha]_D^{20}$ = -54 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 86% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.7 min and t_{minor} = 6.6 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.69 – 7.58 (m, 1H), 7.57 – 7.49 (m, 1H), 7.44 – 7.37 (m, 1H), 7.36 – 7.26 (m, 4H), 7.26 – 7.15 (m, 2H), 7.09 (d, *J* = 8.4 Hz, 1H), 7.05 – 6.92 (m, 4H), 6.82 (td, *J* = 7.6, 0.7 Hz, 1H), 6.74 (t, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 7.8 Hz, 1H), 5.79 (d, *J* = 10.9 Hz, 1H), 5.21 (d, *J* = 15.7 Hz, 1H), 4.82 – 4.65 (m, 1H), 4.59 (d, *J* = 15.7 Hz, 1H), 2.95 (d, *J* = 8.4 Hz, 1H), 2.48 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.5, 174.1, 170.1, 143.6, 138.4, 138.3, 135.4, 130.7, 130.5, 128.7, 128.4, 127.7, 127.6, 127.5, 125.8, 125.8 (q, J_{C-F} = 279.4 Hz), 125.5, 124.2, 123.7, 122.5, 122.2, 121.7, 112.4, 109.5, 98.0, 72.4, 63.6 (q, J_{C-F} = 30.3 Hz), 45.0, 44.4, 20.2. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.5. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1702.



	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.726	1164771	58.27	83268	bb	Unknown
2	12.194	834075	41.73	34973	bb	Unknown

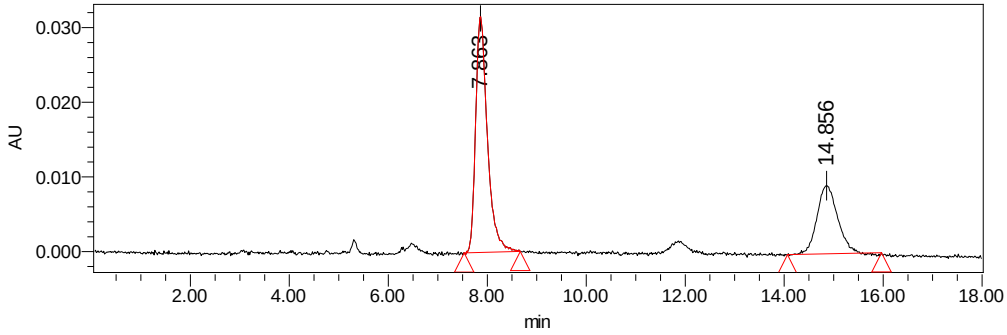
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.578	405096	6.77	33779	bb	Unknown
2	11.721	5577667	93.23	253554	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4'-(m-tolyl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ia)

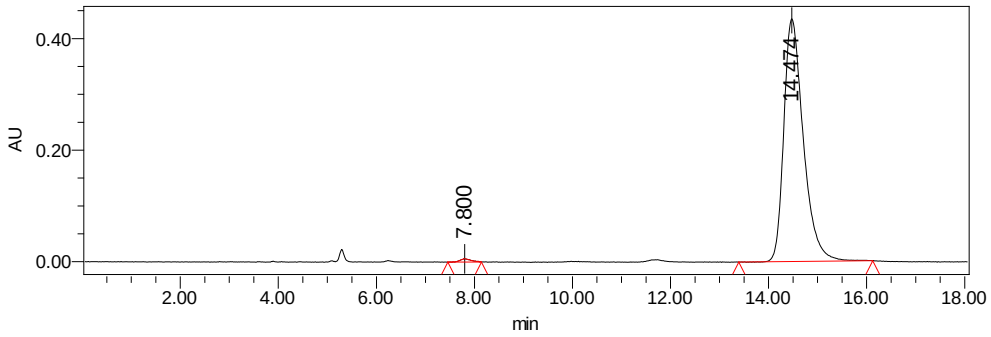


From 47.2 mg (0.20 mmol) (Z)-2-(3-methylbenzylidene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 102.0 mg (93% yield) compound **3ia** was obtained as pale yellow solid, mp = 118 - 119 °C. $[\alpha]_D^{20}$ = -94 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 99% ee was determined by HPLC analysis (Daicel Chiralcel IA column,

hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 14.5 min and t_{minor} = 7.8 min. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.55 (d, *J* = 7.0 Hz, 1H), 7.44 – 7.27 (m, 5H), 7.27 – 7.17 (m, 4H), 7.08 – 6.95 (m, 3H), 6.90 (d, *J* = 7.5 Hz, 1H), 6.83 (t, *J* = 7.5 Hz, 1H), 6.74 (t, *J* = 7.4 Hz, 1H), 6.47 (d, *J* = 7.8 Hz, 1H), 5.24 (d, *J* = 15.6 Hz, 1H), 5.16 (d, *J* = 10.9 Hz, 1H), 4.95 – 4.75 (m, 1H), 4.55 (d, *J* = 15.6 Hz, 1H), 2.98 (d, *J* = 8.5 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 174.2, 170.2, 143.6, 138.4, 137.9, 135.5, 131.3, 130.5, 130.3, 128.9, 128.8, 128.1, 127.6, 126.1, 125.9, 125.7 (q, J_{C-F} = 278.2 Hz), 124.2, 123.7, 122.5, 122.2, 121.8, 112.3, 109.4, 97.8, 72.2, 62.5 (q, J_{C-F} = 30.6 Hz), 51.0, 44.4, 21.3. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.2. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1703.

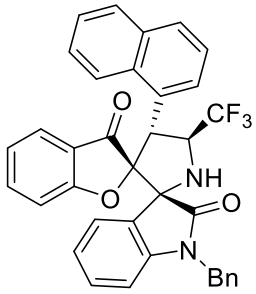


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.863	520881	65.83	31552	bb	Unknown
2	14.856	270346	34.17	9123	bb	Unknown

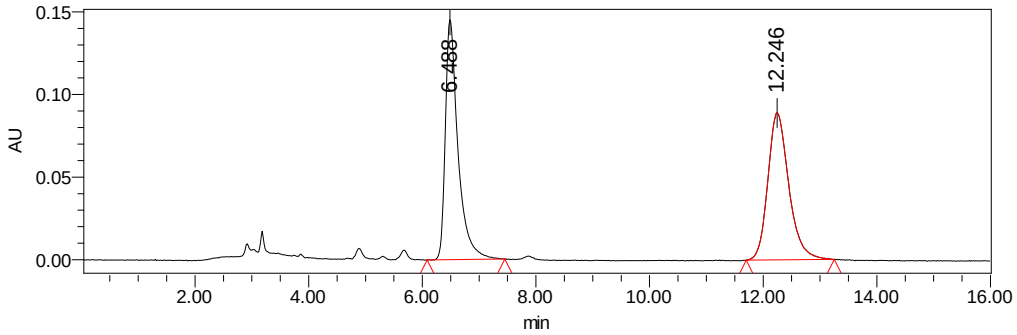


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.800	87466	0.72	5754	bb	Unknown
2	14.474	11999722	99.28	434712	bb	Unknown

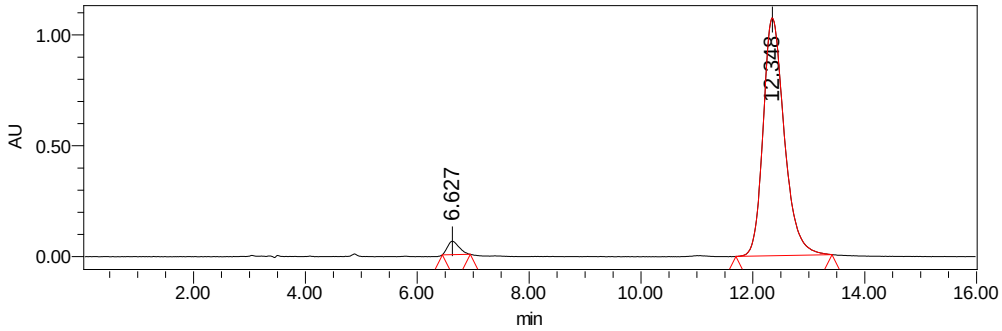
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(naphthalen-1-yl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ja)



From 54.4 mg (0.20 mmol) (Z)-2-(naphthalen-1-ylmethylene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 105.0 mg (89% yield) compound **3ja** was obtained as white solid, mp = 149 - 150 °C. $[\alpha]_D^{20}$ = +163 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 94% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.3 min and t_{minor} = 6.6 min. ^1H NMR (300 MHz, $CDCl_3$) δ 8.50 (d, *J* = 8.7 Hz, 1H), 7.86 (d, *J* = 7.2 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.65 – 7.55 (m, 3H), 7.42 (d, *J* = 7.5 Hz, 1H), 7.39 – 7.27 (m, 6H), 7.27 – 7.18 (m, 1H), 7.09 – 6.94 (m, 3H), 6.84 (t, *J* = 7.5 Hz, 1H), 6.63 (t, *J* = 7.4 Hz, 1H), 6.52 – 6.41 (m, 2H), 5.30 (d, *J* = 15.7 Hz, 1H), 5.08 – 4.85 (m, 1H), 4.63 (d, *J* = 15.7 Hz, 1H), 3.04 (d, *J* = 8.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.0, 174.3, 170.1, 143.7, 138.4, 135.4, 133.8, 132.4, 130.6, 128.8, 128.7, 128.5, 127.6, 127.6, 127.5, 127.1, 126.7, 125.9, 125.8, 125.7 (q, J_{C-F} = 278.4 Hz), 124.4, 124.2, 123.8, 123.4, 122.6, 122.1, 121.7, 112.3, 109.6, 97.4, 72.5, 63.9 (q, J_{C-F} = 30.4 Hz), 44.5, 43.8. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.4. HRMS (ESI) *m/z* calcd for $C_{36}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 613.1709, found 613.1701.

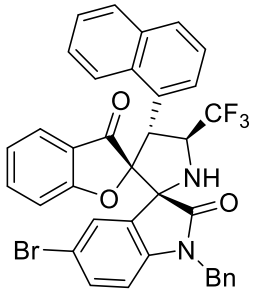


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.488	2236821	49.57	145628	bb	Unknown
2	12.246	2275612	50.43	89023	bb	Unknown

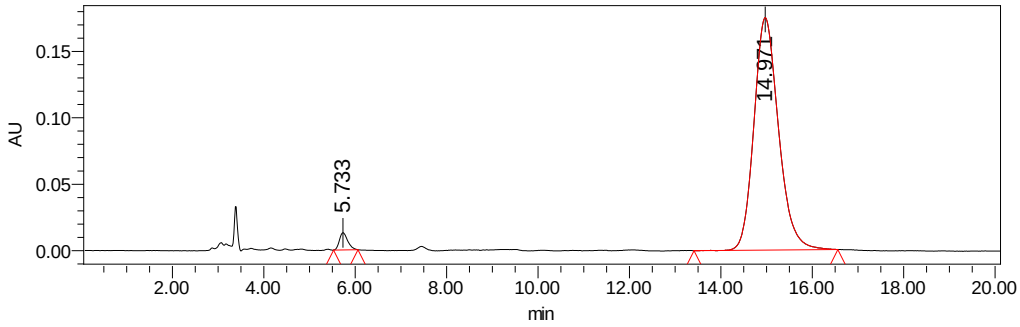


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.627	860591	2.96	60353	bb	Unknown
2	12.348	28229269	97.04	1073160	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-5''-bromo-4'-(naphthalen-1-yl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3jf)

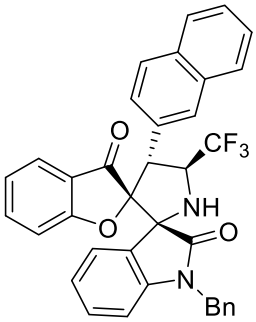


From 54.4 mg (0.20 mmol) (Z)-2-(naphthalen-1-ylmethylene)benzofuran-3(2H)-one and 119.0 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-5-bromo-3-((2,2,2-trifluoroethyl) imino)indolin-2-one, 100.0 mg (75% yield) compound **3jf** was obtained as pale yellow solid, mp = 144 - 145 °C. $[\alpha]_D^{20}$ = +250 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 95% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 15.0 min and t_{minor} = 5.7 min. ^1H NMR (400 MHz, $CDCl_3$) δ 8.49 (d, *J* = 8.7 Hz, 1H), 7.87 (d, *J* = 7.3 Hz, 1H), 7.81 – 7.71 (m, 2H), 7.71 – 7.62 (m, 2H), 7.50 – 7.43 (m, 2H), 7.43 – 7.22 (m, 7H), 7.20 – 6.89 (m, 3H), 6.75 (t, *J* = 7.4 Hz, 1H), 6.46 – 6.32 (m, 2H), 5.30 (d, *J* = 15.7 Hz, 1H), 5.02 – 4.91 (m, 1H), 4.64 (d, *J* = 15.7 Hz, 1H), 2.78 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.7, 173.8, 170.1, 142.6, 138.7, 134.8, 133.8, 133.3, 132.3, 129.2, 128.9, 128.9, 128.5, 127.8, 127.5, 127.1, 127.1, 126.6, 125.9, 125.8, 125.6 (q, J_{C-F} = 270.7 Hz), 124.5, 124.4, 123.3, 122.4, 121.6, 115.1, 112.2, 111.1, 97.1, 72.4, 63.9 (q, J_{C-F} = 30.7 Hz), 44.6, 43.6. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.6. HRMS (ESI) *m/z* calcd for $C_{36}H_{24}BrF_3N_2NaO_3$ $[M+Na]^+$: 691.0815, found 691.0818.

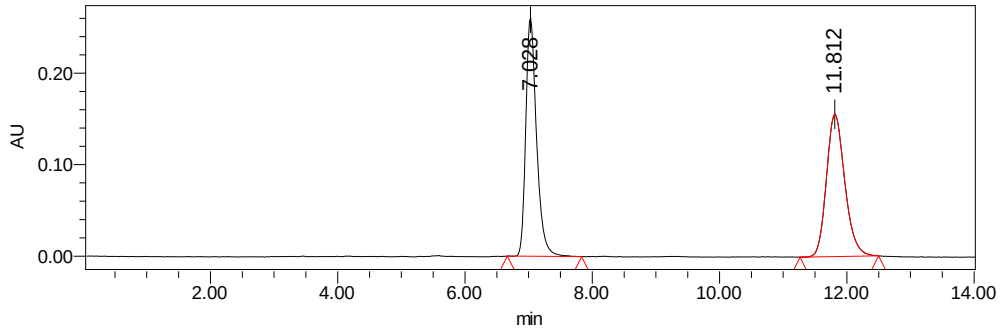


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	5.733	162096	2.42	12982	bb	Unknown
2	14.971	6533109	97.58	175077	bb	Unknown

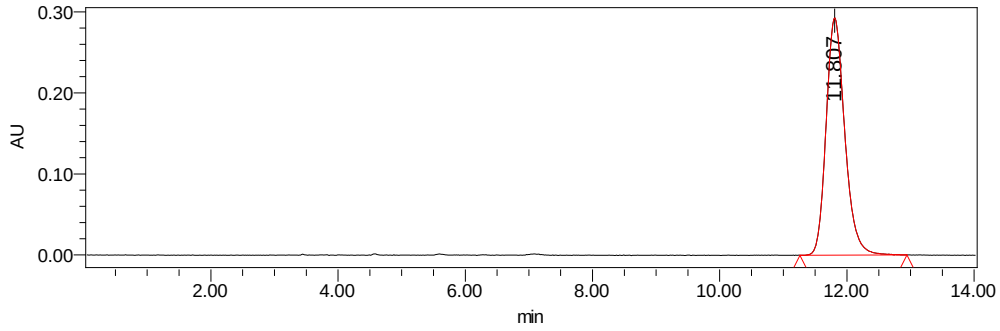
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(naphthalen-2-yl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ka)



From 54.4 mg (0.20 mmol) (Z)-2-(naphthalen-2-ylmethylene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indo lin-2-one, 96.6 mg (82% yield) compound **3ka** was obtained as white solid, mp = 128 - 129 °C. $[\alpha]_D^{20}$ = -59 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.8 min and t_{minor} = 7.0 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.74 – 7.51 (m, 5H), 7.42 – 7.17 (m, 8H), 7.12 (d, *J* = 7.6 Hz, 1H), 7.05 – 6.92 (m, 2H), 6.82 (t, *J* = 7.5 Hz, 1H), 6.58 (t, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 7.8 Hz, 1H), 5.43 (d, *J* = 10.9 Hz, 1H), 5.25 (d, *J* = 15.6 Hz, 1H), 5.16 – 4.92 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 3.12 (d, *J* = 8.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.5, 174.3, 170.2, 143.6, 138.6, 135.5, 133.1, 133.0, 130.6, 129.3, 129.2, 128.8, 128.1, 128.0, 127.7, 127.7, 127.5, 126.5, 126.2, 126.1, 125.9 (q, J_{C-F} = 280.5 Hz), 124.1, 123.7, 122.7, 122.3, 112.4, 109.5, 98.1, 72.5, 62.7 (q, J_{C-F} = 30.6 Hz), 51.2, 44.5. ^{19}F NMR (376 MHz, $CDCl_3$) δ -72.9. HRMS (ESI) *m/z* calcd for $C_{36}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 613.1709, found 613.1702.

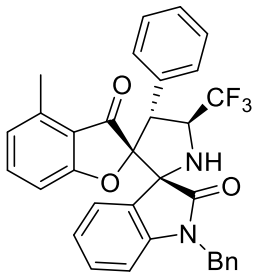


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.028	3068951	49.66	260737	bb	Unknown
2	11.812	3110832	50.34	155721	bb	Unknown



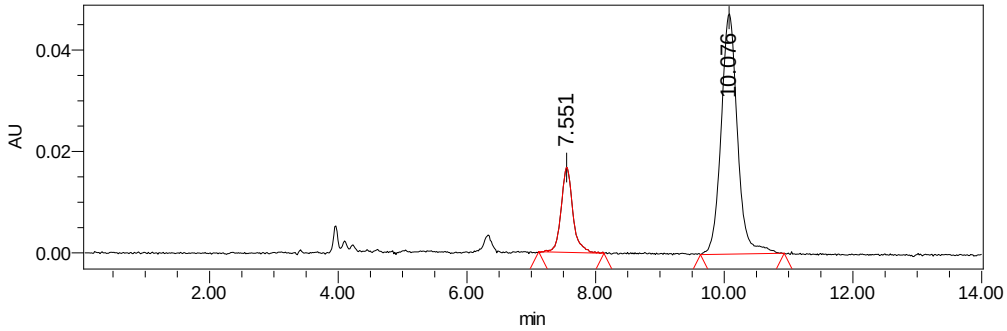
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	11.807	5959005	100.00	292886	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-4-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3la)

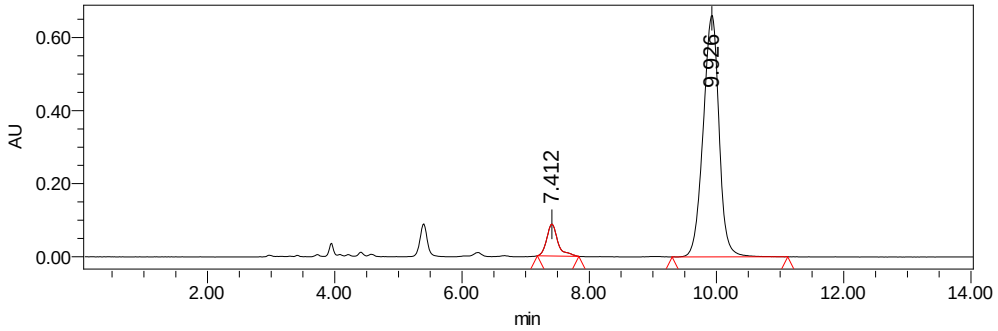


From 47.2 mg (0.20 mmol) (Z)-2-benzylidene-4-methylbenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 83.2 mg (75% yield) compound **3la** was obtained as pale yellow solid, mp =175 - 176 °C. $[\alpha]_D^{20}$ = -94 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 83% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 9.9 min and t_{minor} = 7.4 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.54 (d, *J* = 6.9 Hz, 1H), 7.46 – 7.34 (m,

4H), 7.30 (t, *J* = 7.2 Hz, 2H), 7.26 – 7.22 (m, 1H), 7.22 – 7.04 (m, 4H), 7.00 (t, *J* = 7.7 Hz, 1H), 6.89 – 6.75 (m, 2H), 6.46 (d, *J* = 7.6 Hz, 2H), 5.43 (d, *J* = 15.6 Hz, 1H), 5.19 (d, *J* = 10.9 Hz, 1H), 4.95 – 4.71 (m, 1H), 4.35 (d, *J* = 15.6 Hz, 1H), 2.96 (d, *J* = 8.2 Hz, 1H), 2.25 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.7, 174.2, 170.5, 143.6, 139.6, 137.7, 135.5, 131.6, 130.5, 129.4, 128.7, 128.3, 128.1, 127.7, 127.6, 125.9, 125.7 (q, J_{C-F} = 278.3 Hz), 123.8, 123.6, 122.5, 119.9, 109.4, 109.3, 97.2, 72.3, 62.5 (q, J_{C-F} = 30.6 Hz), 51.0, 44.4, 17.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.2. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1702.

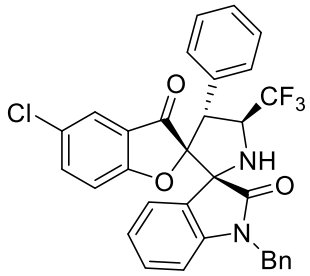


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.551	212777	20.30	16800	bb	Unknown
2	10.076	835499	79.70	47361	bb	Unknown

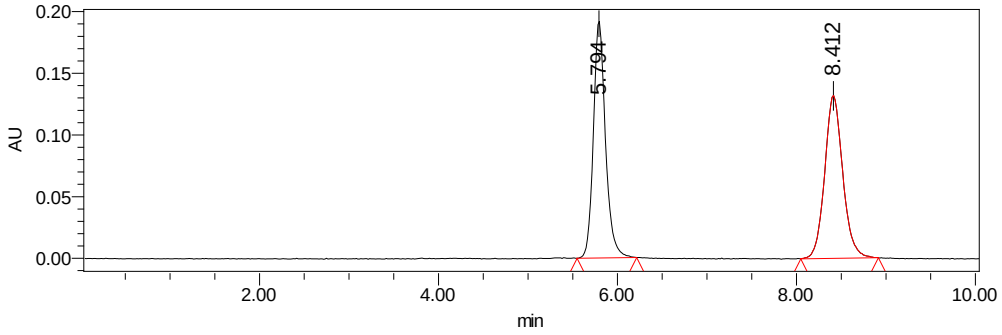


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	7.412	1066694	8.51	87300	bb	Unknown
2	9.926	11470142	91.49	662212	bb	Unknown

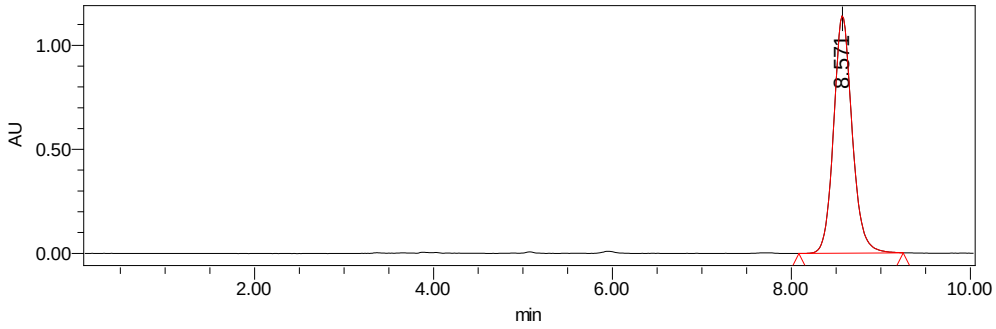
(2R,2'R,4'R,5'S)-1''-benzyl-5-chloro-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ma)



From 51.4 mg (0.20 mmol) (Z)-2-benzylidene-5-chlorobenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 92.0 mg (80% yield) compound **3ma** was obtained as pale yellow solid, mp = 124 -125 °C. $[\alpha]_D^{20}$ = -94 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 8.6 min and t_{minor} = 5.8 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.54 (d, *J* = 7.4 Hz, 1H), 7.44 – 7.20 (m, 8H), 7.20 – 7.08 (m, 4H), 7.06 – 6.95 (m, 2H), 6.84 (t, *J* = 7.5 Hz, 1H), 6.51 (d, *J* = 7.8 Hz, 1H), 5.36 – 5.10 (m, 2H), 4.99 – 4.76 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 3.04 (d, *J* = 8.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 173.9, 168.5, 143.6, 138.4, 135.4, 131.1, 130.8, 129.2, 128.8, 128.5, 128.4, 127.8, 127.7, 127.6, 125.8, 125.6 (q, J_{C-F} = 278.3 Hz), 123.6, 123.4, 122.8, 122.7, 113.7, 109.6, 98.8, 72.2, 62.3 (q, J_{C-F} = 30.8 Hz), 51.2, 44.5. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1154.

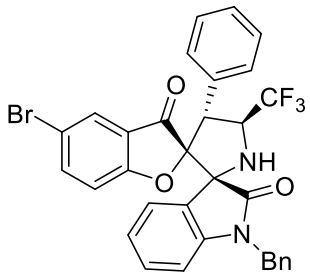


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	5.794	1799782	49.30	191980	bb	Unknown
	8.412	1850851	50.70	131996	bb	Unknown

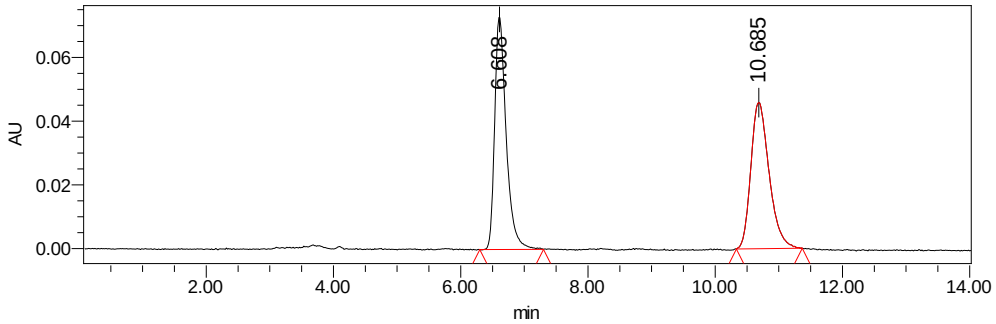


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	8.571	16430306	100.00	1141126	bb	Unknown

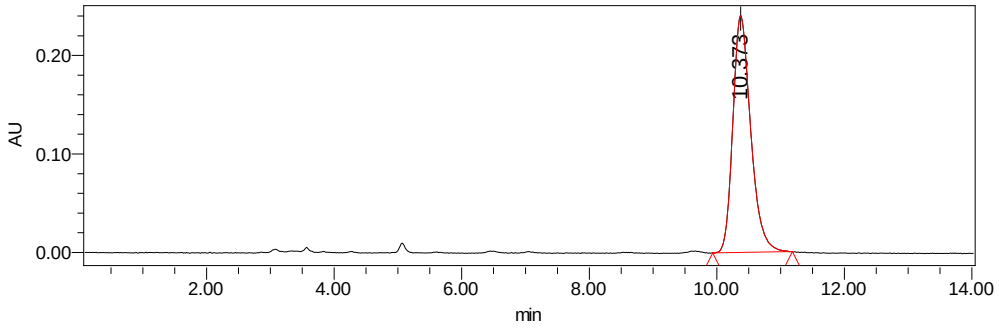
(2R,2'R,4'R,5'S)-1''-benzyl-5-bromo-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3na)



From 60.2 mg (0.20 mmol) (Z)-2-benzylidene-5-bromobenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 98.9 mg (80% yield) compound **3na** was obtained as pale yellow solid, mp = 144 - 145 °C. $[\alpha]_D^{20}$ = -117 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 10.4 min and t_{minor} = 6.6 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.53 (d, *J* = 7.5 Hz, 1H), 7.41 (dd, *J* = 8.8, 2.2 Hz, 1H), 7.39 – 7.28 (m, 7H), 7.27 – 7.22 (m, 1H), 7.20 – 7.09 (m, 3H), 7.03 (td, *J* = 7.8, 1.1 Hz, 1H), 6.93 (d, *J* = 8.8 Hz, 1H), 6.84 (td, *J* = 7.6, 0.7 Hz, 1H), 6.52 (d, *J* = 7.8 Hz, 1H), 5.30 – 5.15 (m, 2H), 5.00 – 4.78 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 3.04 (d, *J* = 8.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.1, 173.9, 168.9, 143.5, 141.0, 135.4, 131.1, 130.8, 129.2, 128.8, 128.5, 128.4, 127.7, 127.6, 126.7, 125.9, 125.6 (q, J_{C-F} = 278.3 Hz), 123.4, 123.3, 122.7, 115.0, 114.2, 109.7, 98.7, 72.2, 62.3 (q, J_{C-F} = 30.8 Hz), 51.2, 44.5. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}BrF_3N_2NaO_3$ $[M+Na]^+$: 641.0658, found 641.0646.

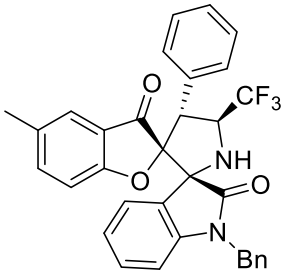


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.608	916939	50.06	73365	bb	Unknown
2	10.685	914920	49.94	46006	bb	Unknown



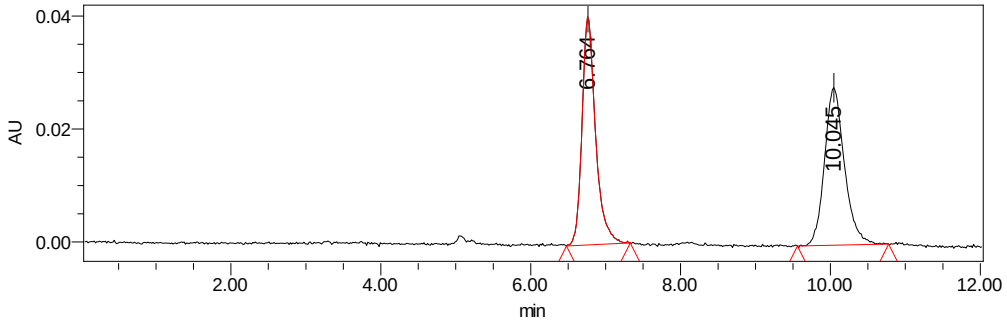
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	10.373	4674367	100.00	240523	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-5-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3oa)

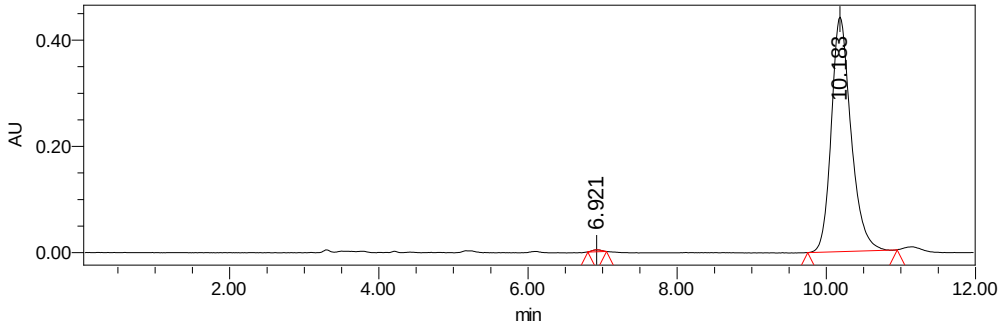


From 47.2 mg (0.20 mmol) (Z)-2-benzylidene-5-methylbenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 107.6 mg (98% yield) compound **3oa** was obtained as pale yellow solid, mp = 140 - 141 °C. $[\alpha]_D^{20}$ = -118 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 10.2 min and t_{minor} = 6.9 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.58 (d, *J* = 6.9 Hz, 1H), 7.47

– 7.25 (m, 6H), 7.25 – 7.17 (m, 1H), 7.16 – 7.02 (m, 4H), 7.01 – 6.87 (m, 3H), 6.82 (t, *J* = 7.3 Hz, 1H), 6.46 (d, *J* = 7.7 Hz, 1H), 5.32 – 5.15 (m, 2H), 5.04 – 4.77 (m, 1H), 4.55 (d, *J* = 15.7 Hz, 1H), 3.05 (s, 1H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 174.3, 168.7, 143.5, 139.8, 135.5, 131.8, 131.6, 130.5, 129.3, 128.8, 128.3, 128.1, 127.6, 126.0, 125.8 (q, J_{C-F} = 278.5 Hz), 123.8, 123.6, 122.6, 121.6, 112.0, 109.4, 98.0, 72.3, 62.5 (q, J_{C-F} = 30.6 Hz), 51.1, 44.4, 20.3. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.0. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1699.

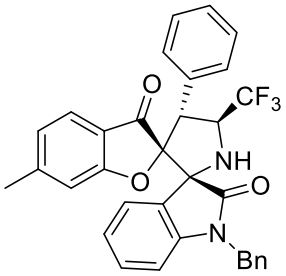


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.764	507932	49.71	40367	bb	Unknown
2	10.045	513938	50.29	27869	bb	Unknown

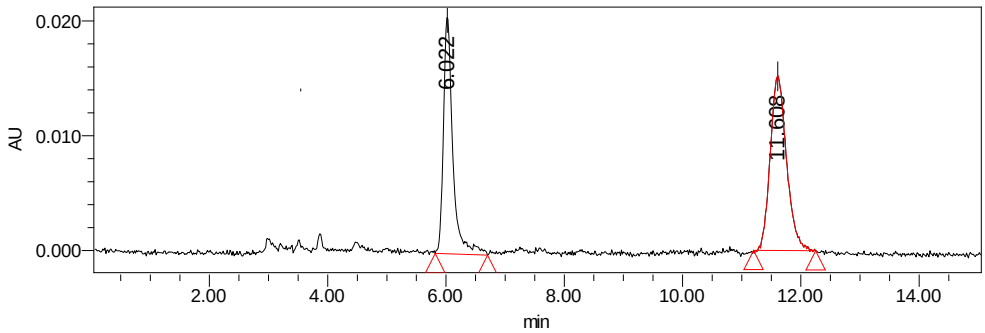


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.921	30892	0.38	3732	bb	Unknown
2	10.183	8084284	99.62	441906	bb	Unknown

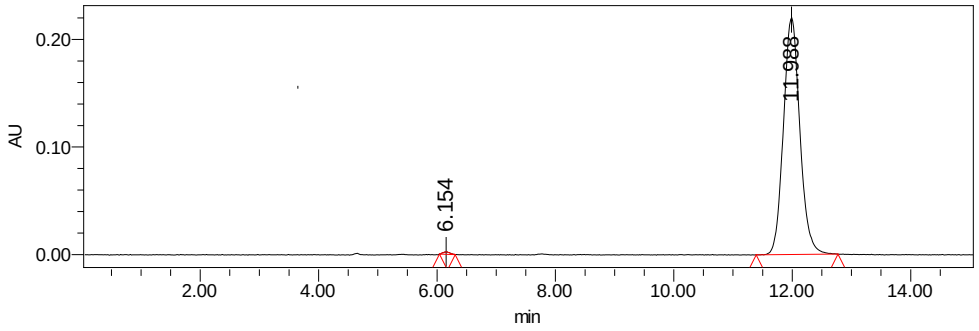
(2R,2'R,4'R,5'S)-1''-benzyl-6-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3pa)



From 47.2 mg (0.20 mmol) (Z)-2-benzylidene-6-methylbenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 93.0 mg (84% yield) compound **3pa** was obtained as yellow solid, mp = 133 - 134 °C. $[\alpha]_D^{20}$ = -108 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 12.0 min and t_{minor} = 6.2 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.4 Hz, 1H), 7.45 – 7.26 (m, 6H), 7.26 – 7.18 (m, 1H), 7.18 – 7.03 (m, 4H), 6.99 (t, *J* = 7.7 Hz, 1H), 6.89 – 6.77 (m, 2H), 6.52 (d, *J* = 7.9, 1H), 6.46 (d, *J* = 7.7, 1H), 5.28 – 5.14 (m, 2H), 4.95 – 4.82 (m, 1H), 4.56 (d, *J* = 15.7 Hz, 1H), 3.01 (d, *J* = 8.1 Hz, 1H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.5, 174.2, 170.7, 150.6, 143.6, 135.5, 131.5, 130.5, 129.3, 128.7, 128.3, 128.1, 127.6, 127.6, 125.9, 125.7 (q, J_{C-F} = 278.2 Hz), 123.9, 123.8, 123.7, 122.5, 119.4, 112.3, 109.4, 98.0, 72.2, 62.4 (q, J_{C-F} = 30.6 Hz), 51.0, 44.4, 22.5. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1698.

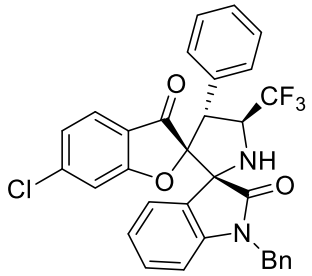


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.022	227602	43.83	20753	bb	Unknown
2	11.608	291723	56.17	15204	bb	Unknown

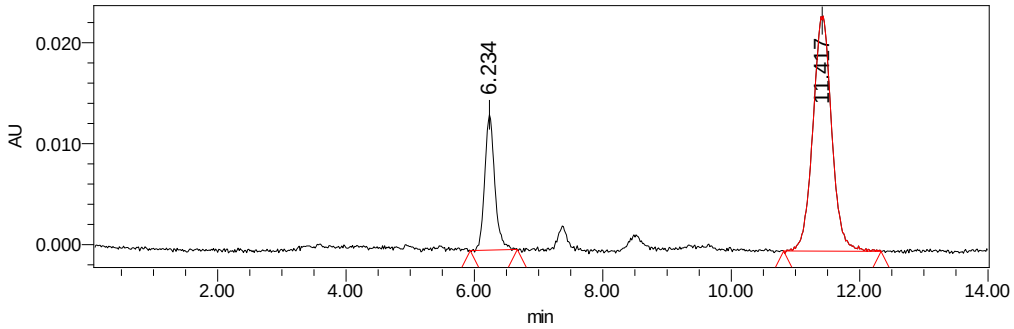


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.154	18519	0.43	2334	bb	Unknown
2	11.988	4244453	99.57	220014	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-6-chloro-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3qa)



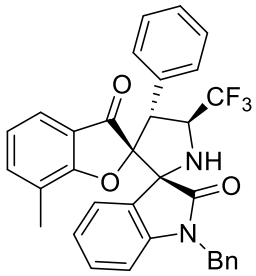
From 51.4 mg (0.20 mmol) (Z)-2-benzylidene-6-chlorobenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 110.0 mg (96% yield) compound **3qa** was obtained as pale yellow solid, mp = 134 - 135 °C. $[\alpha]_D^{20}$ = -149 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.6 min and t_{minor} = 6.2 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.1 Hz, 1H), 7.42 – 7.29 (m, 6H), 7.28 – 7.22 (m, 1H), 7.21 – 7.09 (m, 4H), 7.09 – 6.99 (m, 2H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.74 (dd, *J* = 8.3, 1.5 Hz, 1H), 6.51 (d, *J* = 7.8 Hz, 1H), 5.30 – 5.12 (m, 2H), 4.95 – 4.75 (m, 1H), 4.57 (d, *J* = 15.6 Hz, 1H), 2.98 (d, *J* = 8.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.8, 173.9, 170.2, 144.5, 143.6, 135.4, 131.1, 130.7, 129.2, 128.8, 128.5, 128.3, 127.7, 127.6, 125.8, 125.6 (q, J_{C-F} = 278.2 Hz), 124.9, 123.5, 123.4, 122.7, 120.4, 112.7, 109.6, 98.7, 72.1, 62.3 (q, J_{C-F} = 30.8 Hz), 51.1, 44.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.3. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1155.



	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.234	146659	23.62	13335	bb	Unknown
2	11.417	474162	76.38	23261	bb	Unknown

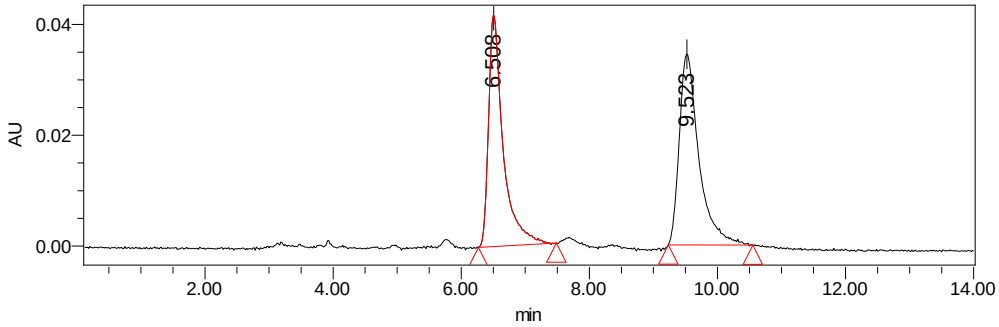
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	11.581	13381520	100.00	639180	bb	Unknown

(2R,2'R,4'R,5'S)-1''-benzyl-7-methyl-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ra)

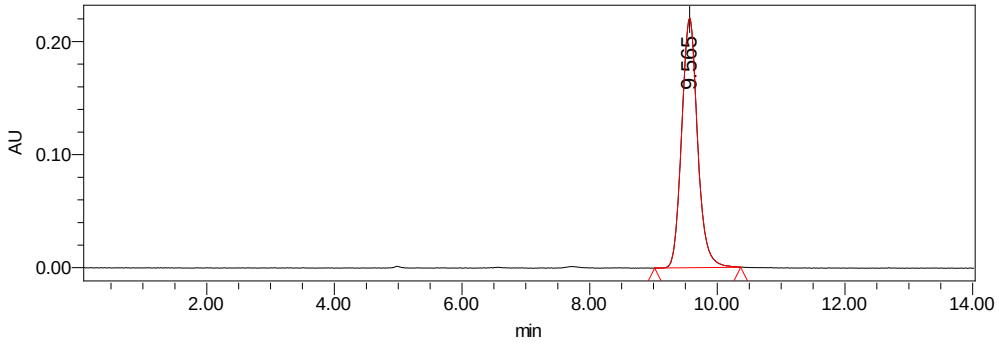


From 47.2 mg (0.20 mmol) (Z)-2-benzylidene-7-methylbenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 109.0 mg (98% yield) compound **3ra** was obtained as yellow solid, mp = 134 - 135 °C. $[\alpha]_D^{20}$ = -135 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 9.6 min and t_{minor} = 6.5 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.57 (d, *J* = 7.1 Hz, 1H), 7.38 – 7.27 (m,

6H), 7.26 – 7.20 (m, 1H), 7.18 – 6.92 (m, 6H), 6.85 (t, *J* = 7.4 Hz, 1H), 6.61 (t, *J* = 7.5 Hz, 1H), 6.46 (d, *J* = 7.7 Hz, 1H), 5.23 (d, *J* = 15.7 Hz, 1H), 5.16 (d, *J* = 10.9 Hz, 1H), 4.98 – 4.84 (m, 1H), 4.55 (d, *J* = 15.7 Hz, 1H), 3.06 (d, *J* = 8.0 Hz, 1H), 2.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.9, 174.4, 168.7, 143.5, 138.8, 135.5, 131.5, 130.6, 129.1, 128.8, 128.2, 128.1, 127.6, 127.6, 125.8 (q, J_{C-F} = 278.2 Hz), 125.6, 123.9, 122.5, 122.3, 122.1, 121.3, 121.1, 109.4, 97.6, 72.3, 62.5 (q, J_{C-F} = 30.5 Hz), 51.2, 44.4, 14.3. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{33}H_{25}F_3N_2NaO_3$ $[M+Na]^+$: 577.1709, found 577.1700.

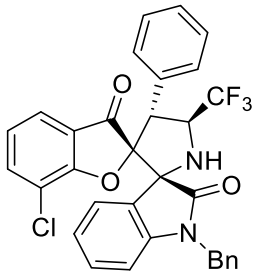


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.508	658800	47.39	41954	bb	Unknown
2	9.523	731267	52.61	34457	bb	Unknown

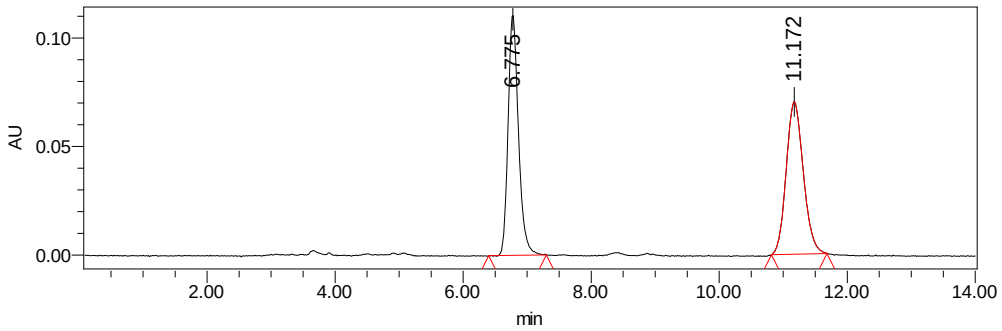


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	9.565	3808806	100.00	220969	bb	Unknown

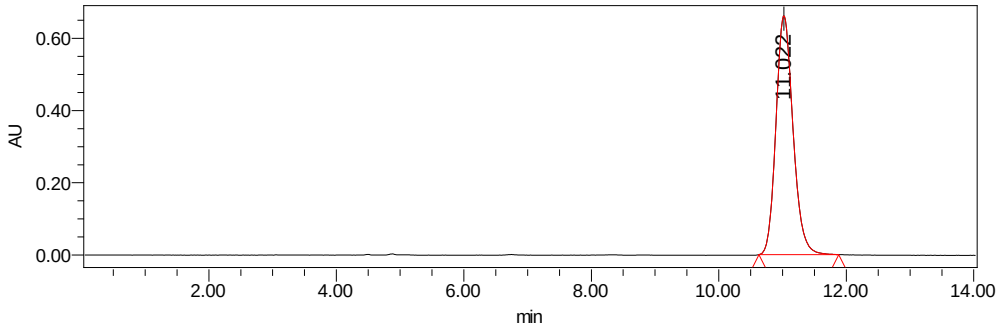
(2R,2'R,4'R,5'S)-1''-benzyl-7-chloro-4'-phenyl-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3sa)



From 51.4 mg (0.20 mmol) (Z)-2-benzylidene-7-chlorobenzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin -2-one, 104.0 mg (90% yield) compound **3sa** was obtained as pale yellow solid, mp = 127 - 128 °C. $[\alpha]_D^{20}$ = -159 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. >99% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 11.0 min and t_{minor} = 6.8 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.3 Hz, 1H), 7.40 (d, *J* = 6.9 Hz, 2H), 7.37 – 7.26 (m, 5H), 7.26 – 7.20 (m, 1H), 7.18 – 7.04 (m, 4H), 7.01 (t, *J* = 7.7 Hz, 1H), 6.87 (t, *J* = 7.5 Hz, 1H), 6.63 (td, *J* = 7.7, 2.0 Hz, 1H), 6.49 (d, *J* = 7.7 Hz, 1H), 5.30 – 5.12 (m, 2H), 5.01 – 4.85 (m, 1H), 4.56 (d, *J* = 15.6 Hz, 1H), 3.08 (d, *J* = 8.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.6, 173.8, 165.2, 143.5, 137.6, 135.4, 131.1, 130.8, 129.2, 128.8, 128.5, 128.3, 127.7, 127.6, 125.8, 125.7 (q, J_{C-F} = 278.3 Hz), 123.4, 123.1, 122.8, 122.3, 118.0, 109.5, 98.8, 72.1, 62.5 (q, J_{C-F} = 30.7 Hz), 51.3, 44.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -73.1. HRMS (ESI) *m/z* calcd for $C_{32}H_{22}ClF_3N_2NaO_3$ $[M+Na]^+$: 597.1163, found 597.1155.

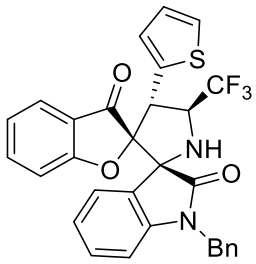


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	6.775	1249245	48.99	110836	bb	Unknown
2	11.172	1300822	51.01	70245	bb	Unknown

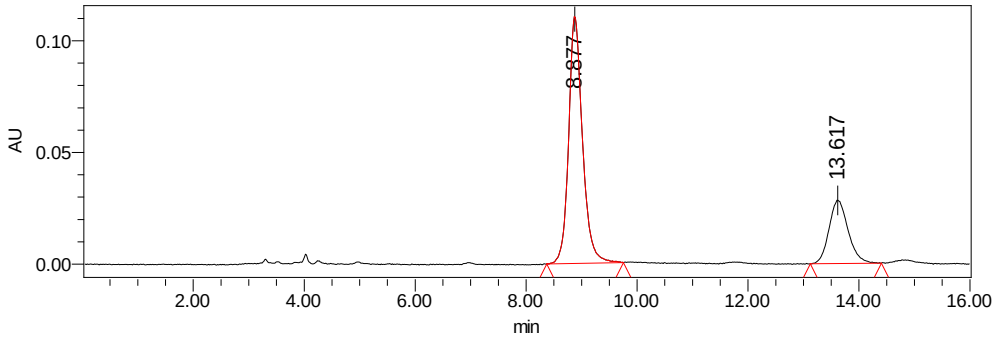


	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	11.022	12303371	100.00	662295	bb	Unknown

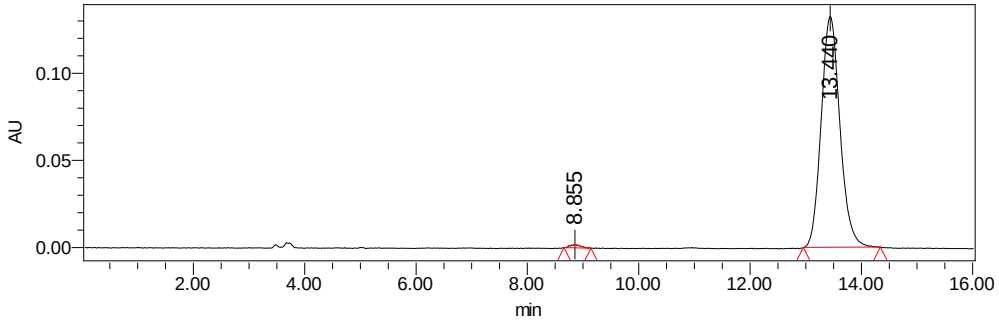
(2R,2'R,4'R,5'S)-1''-benzyl-4'-(thiophen-2-yl)-5'-(trifluoromethyl)-3H-dispiro[benzofuran-2,3'-pyrrolidine-2',3''-indoline]-2'',3-dione (3ta)



From 45.6 mg (0.20 mmol) (Z)-2-(thiophen-2-ylmethylene)benzofuran-3(2H)-one and 95.4 mg (0.30 mmol, 1.5 equiv) (Z)-1-benzyl-3-((2,2,2-trifluoroethyl)imino)indolin-2-one, 96.4 mg (88% yield) compound **3ta** was obtained as yellow solid, mp = 96 - 97 °C. $[\alpha]_D^{20}$ = -130 (*c* = 1.0, $CHCl_3$). Dr (>20:1) determined by ^1H and ^{19}F NMR analysis. 98% ee was determined by HPLC analysis (Daicel Chiralcel IA column, hexane/2-propanol/DCM 16:4:1, 1.0 mL/min). Retention time: t_{major} = 13.4 min and t_{minor} = 8.9 min. ^1H NMR (300 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.2 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.37 – 7.21 (m, 6H), 7.13 (d, *J* = 8.4 Hz, 1H), 7.07 (d, *J* = 5.0 Hz, 1H), 7.05 – 6.97 (m, 2H), 6.88 – 6.74 (m, 3H), 6.48 (d, *J* = 7.8 Hz, 1H), 5.57 (d, *J* = 10.6 Hz, 1H), 5.20 (d, *J* = 15.6 Hz, 1H), 4.75 – 4.61 (m, 1H), 4.58 (d, *J* = 15.7 Hz, 1H), 2.98 (d, *J* = 8.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.9, 174.0, 170.3, 143.6, 138.5, 135.4, 133.4, 130.7, 128.8, 128.4, 127.7, 127.6, 126.4, 126.3, 125.9, 125.5 (q, J_{C-F} = 278.3 Hz), 124.3, 123.5, 122.6, 122.4, 121.8, 112.8, 109.5, 97.0, 71.8, 64.9 (q, J_{C-F} = 30.5 Hz), 46.9, 44.4. ^{19}F NMR (282 MHz, $CDCl_3$) δ -73.3. HRMS (ESI) *m/z* calcd for $C_{30}H_{22}F_3N_2O_3S$ $[M+H]^+$: 547.1298, found 547.1308.

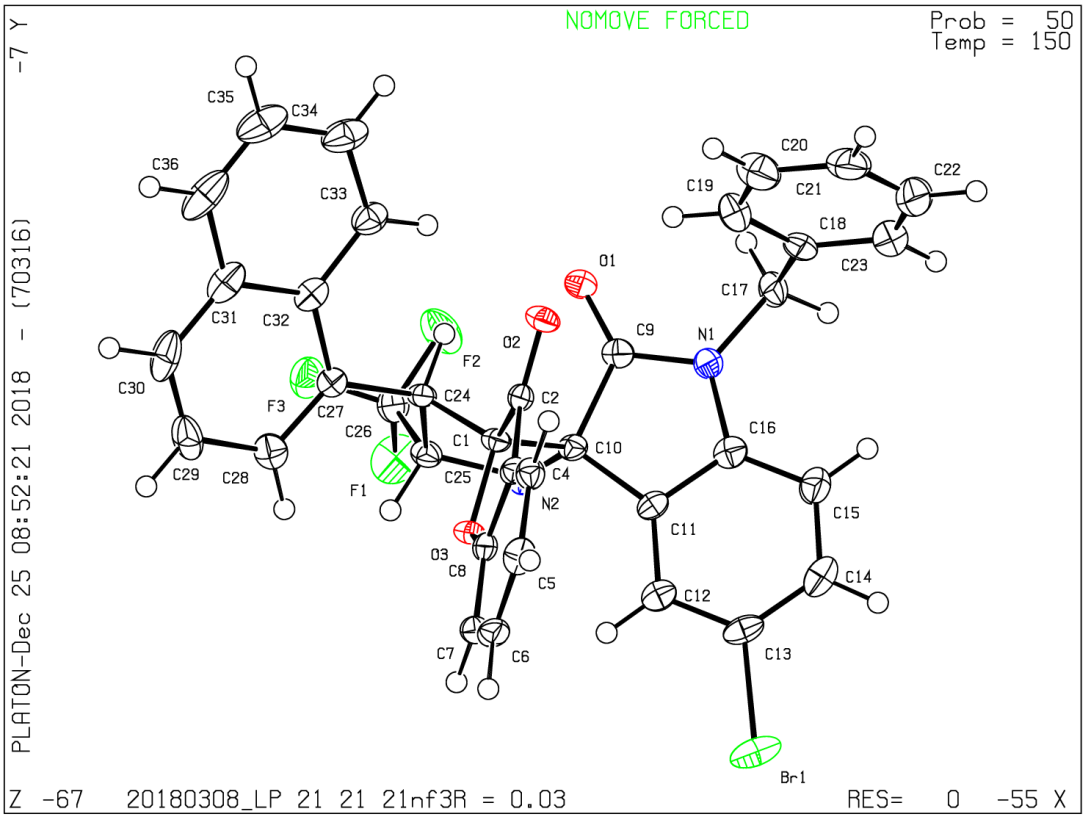


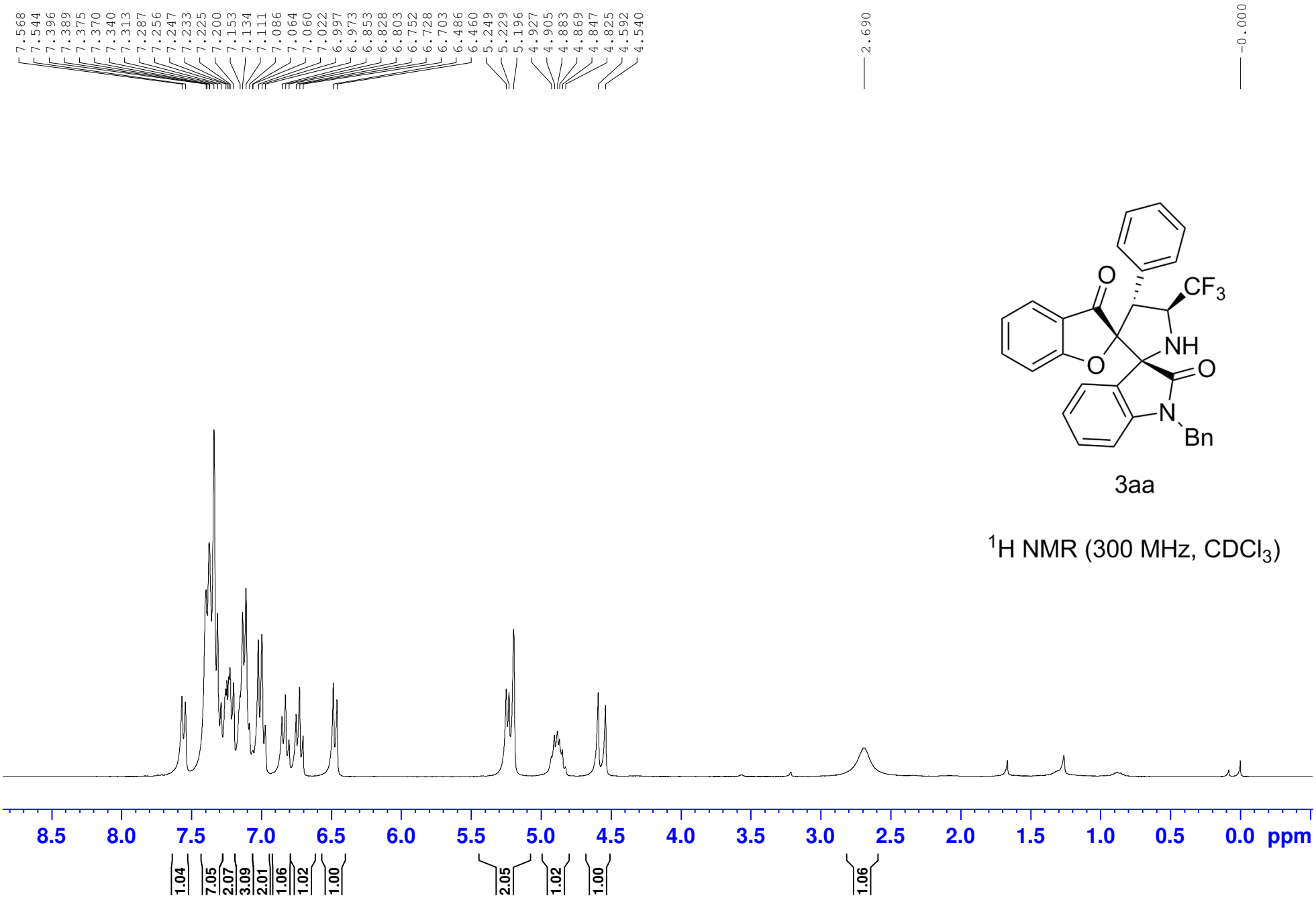
	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	8.877	1915806	73.82	110767	bb	Unknown
2	13.617	679492	26.18	28385	bb	Unknown



	Retention Time	Area	% Area	Height	Int Type	Peak Type
1	8.855	24898	0.80	1868	bb	Unknown
2	13.440	3090835	99.20	132518	bb	Unknown

4. X-ray Structure of Compound 3ua

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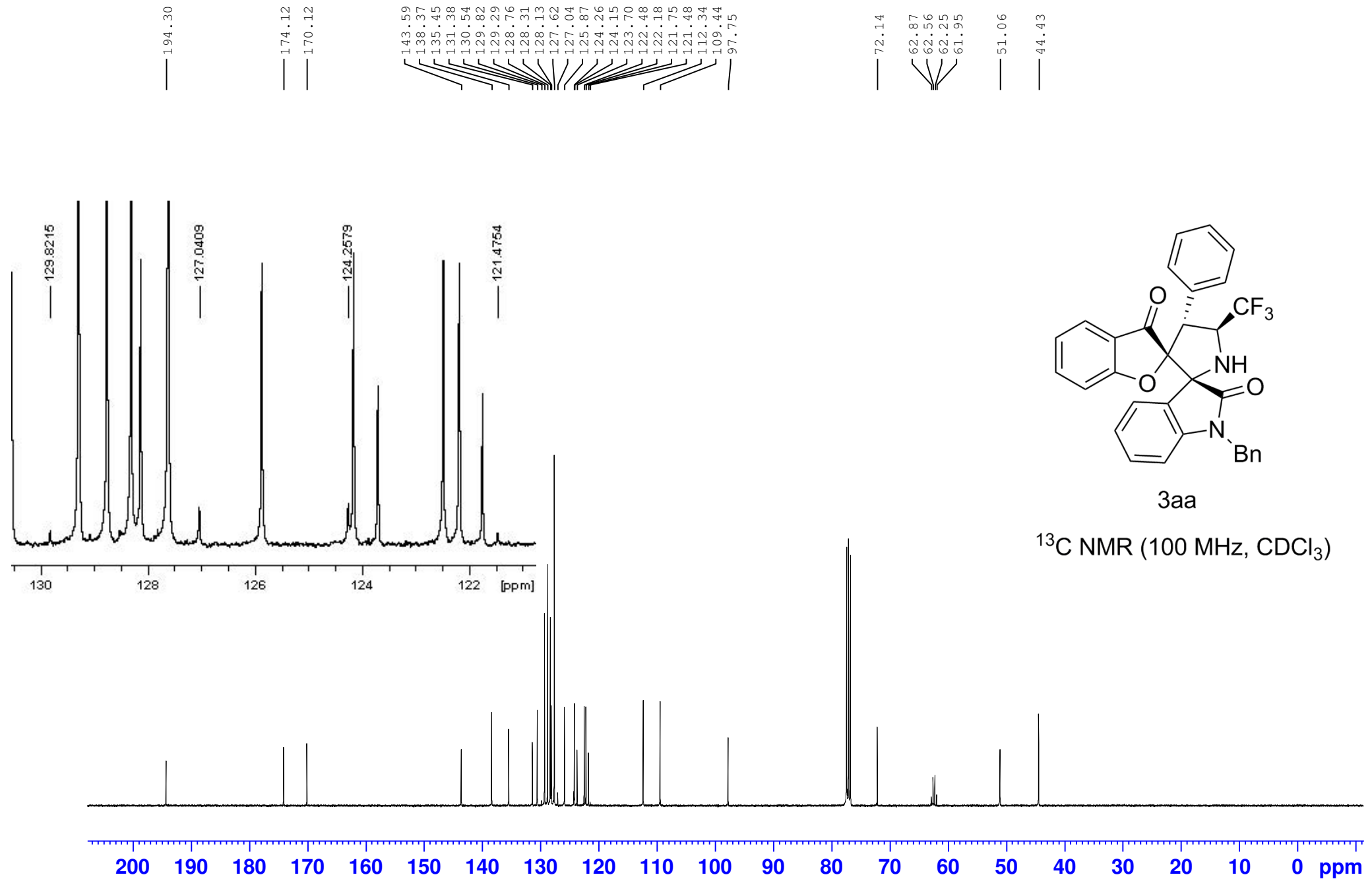
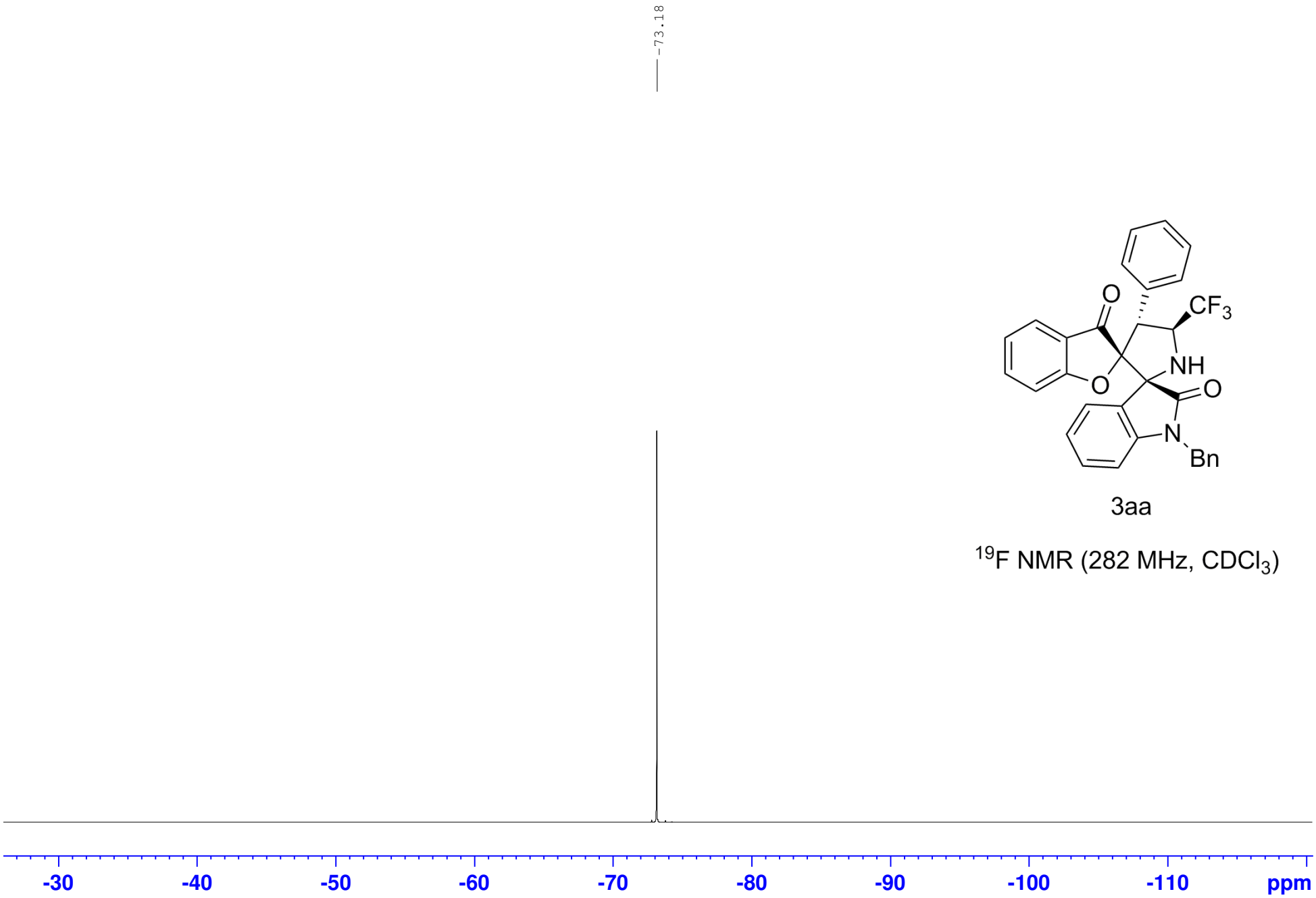
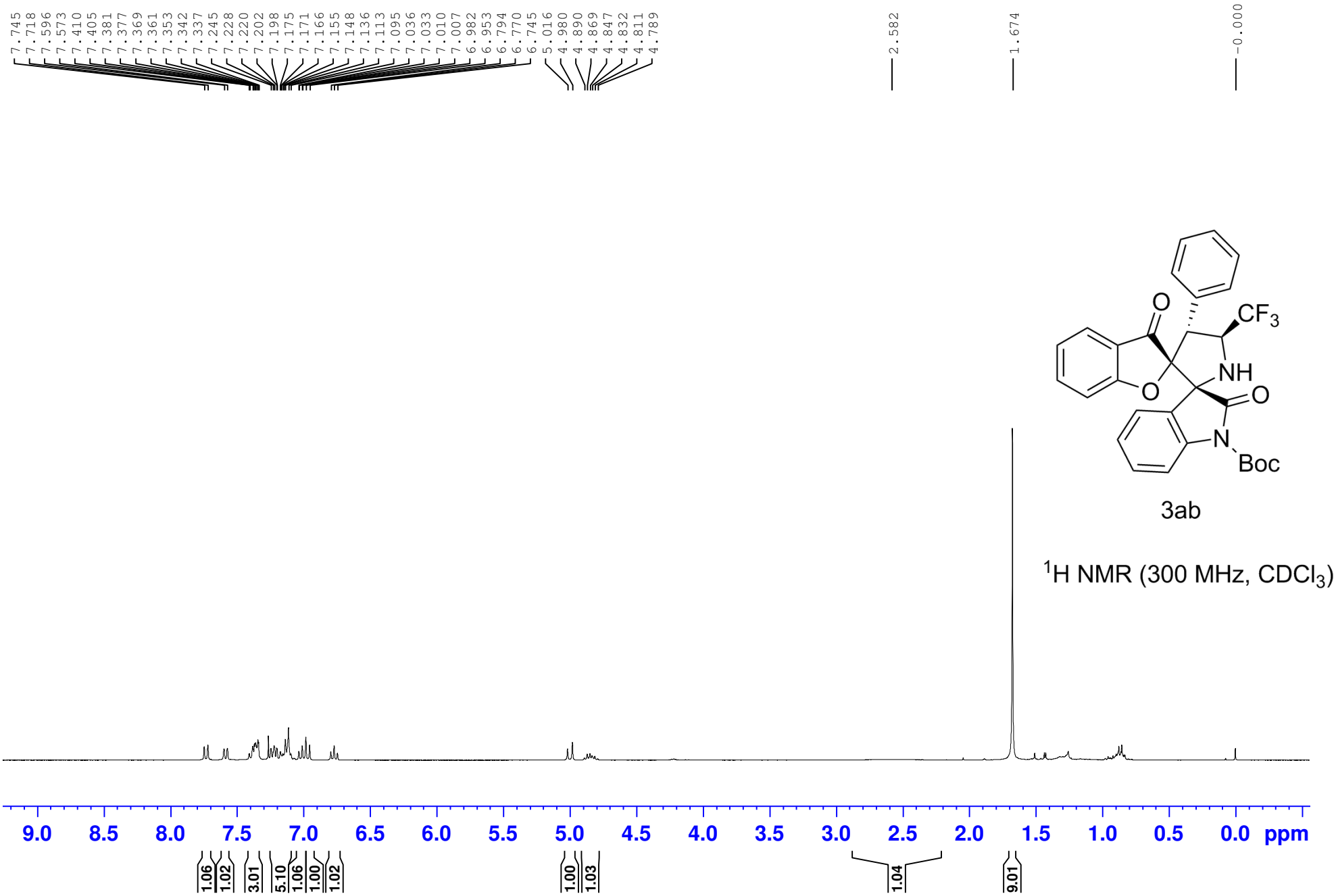
7.544
7.396
7.389
7.375
7.370
7.340
7.313
7.287
7.256
7.247
7.233
7.225
7.200
7.153
7.134
7.111
7.086
7.064
7.060
7.022
6.997
6.973
6.853
6.828
6.803
6.752
6.728
6.703
6.486
6.460
5.249
5.229
5.196
4.927
4.905
4.883
4.869
4.847
4.825
4.592
4.540
2.690
-0.000
O
CF3
NH
O
O
O
N
Bn
3aa
1H NMR (300 MHz, CDCl3)
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
ppm
1.04
7.05
2.07
3.09
2.01
1.06
1.02
1.00
2.05
1.02
1.00
1.06

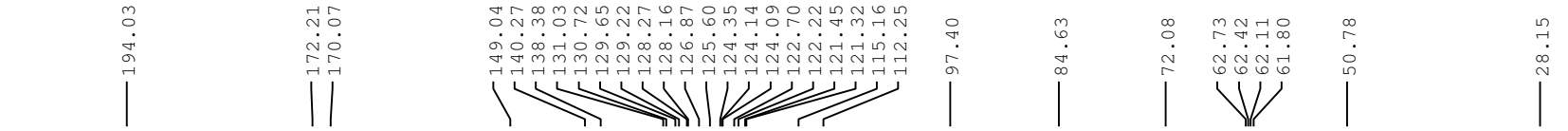

194.30
174.12
170.12
143.59
138.37
135.45
131.38
130.54
129.82
129.29
128.76
128.31
128.13
127.62
127.04
125.87
124.26
124.15
123.70
122.48
122.18
121.75
121.48
112.34
109.44
97.75
72.14
62.87
62.56
62.25
61.95
51.06
44.43
129.8215
127.0409
124.2579
121.4754
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
Bn
3aa
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

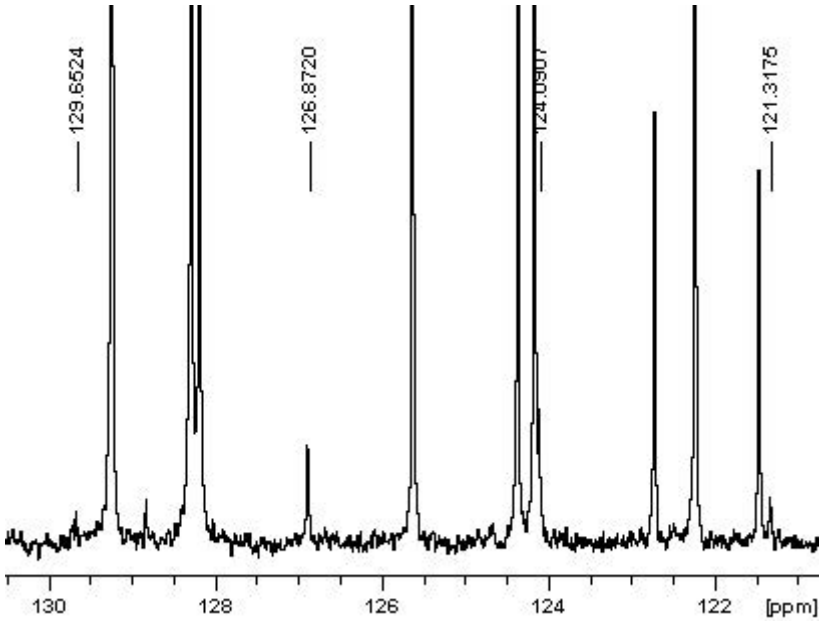


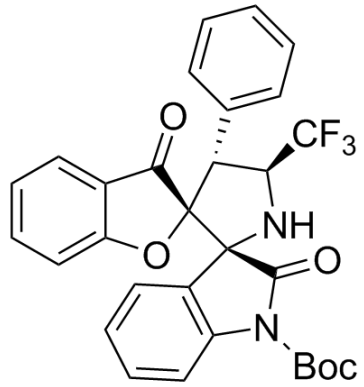
3aa

^{19}F NMR (282 MHz, $CDCl_3$)


7.745
7.718
7.596
7.573
7.410
7.405
7.381
7.377
7.369
7.361
7.353
7.342
7.337
7.245
7.228
7.220
7.202
7.198
7.175
7.171
7.166
7.155
7.148
7.136
7.113
7.095
7.036
7.033
7.010
7.007
6.982
6.953
6.794
6.770
6.745
5.016
4.980
4.890
4.869
4.847
4.832
4.811
4.789
2.582
1.674
0.000
O
CF3
NH
O
O
N
Boc
3ab
1H NMR (300 MHz, CDCl3)
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
ppm
1.06
1.02
3.01
5.10
1.06
1.00
1.02
1.00
1.03
1.04
9.01

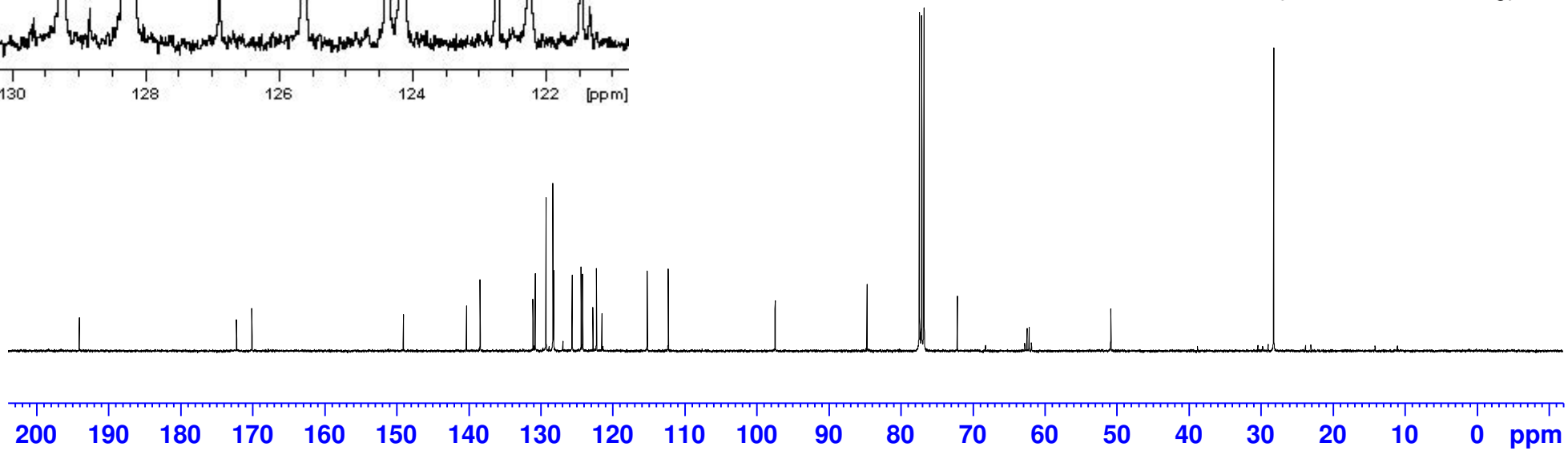


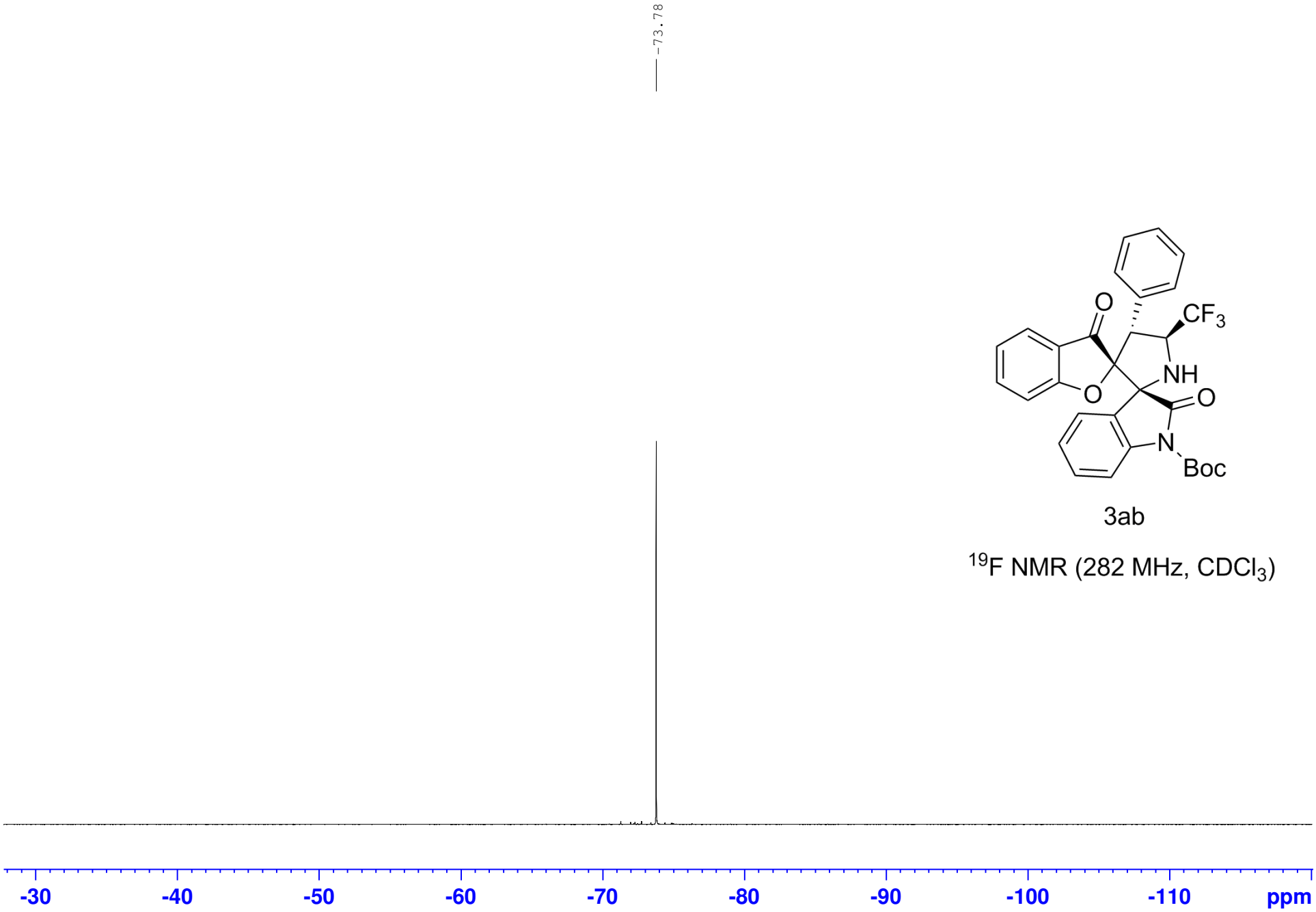




3ab

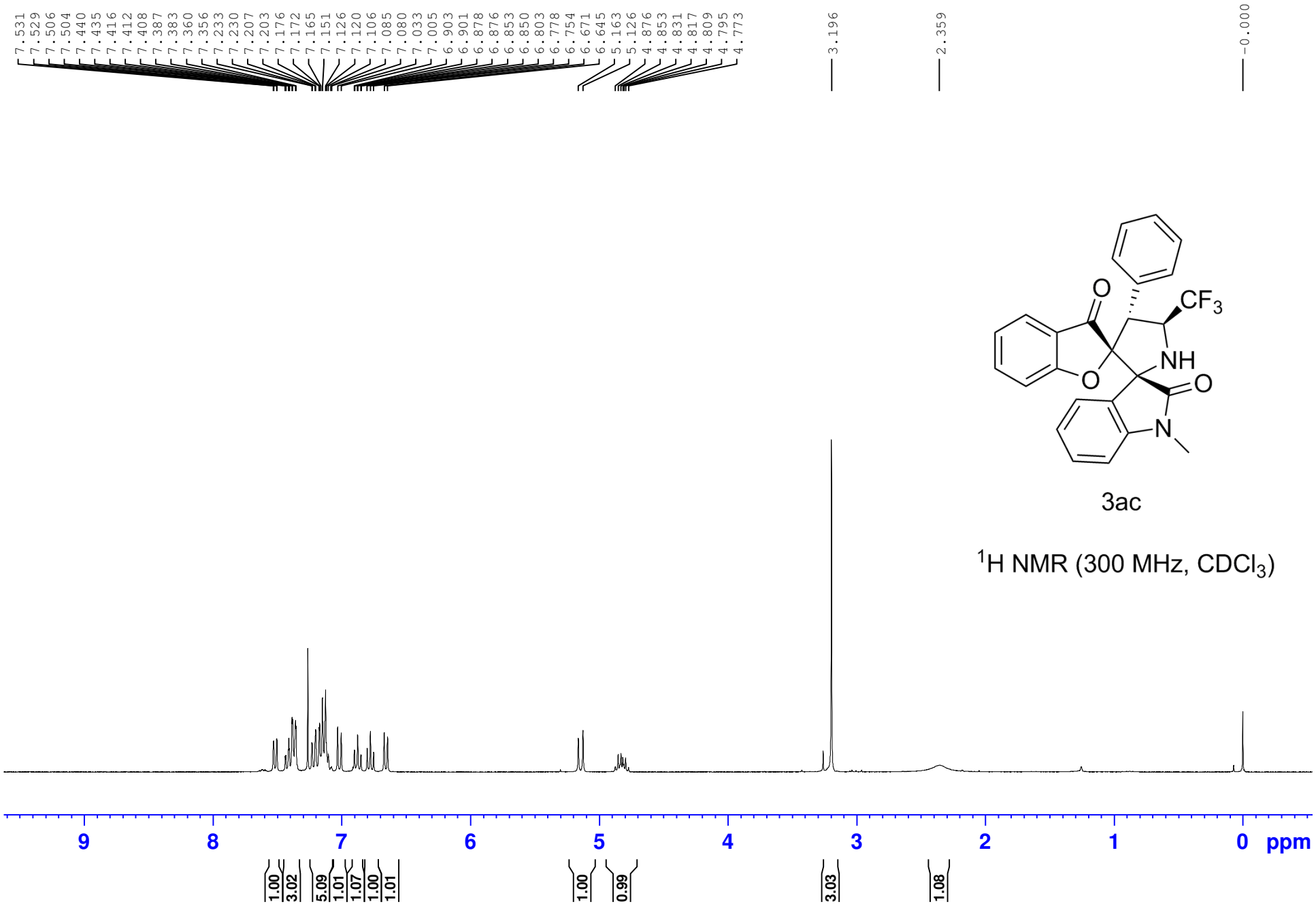
^{13}C NMR (100 MHz, $CDCl_3$)

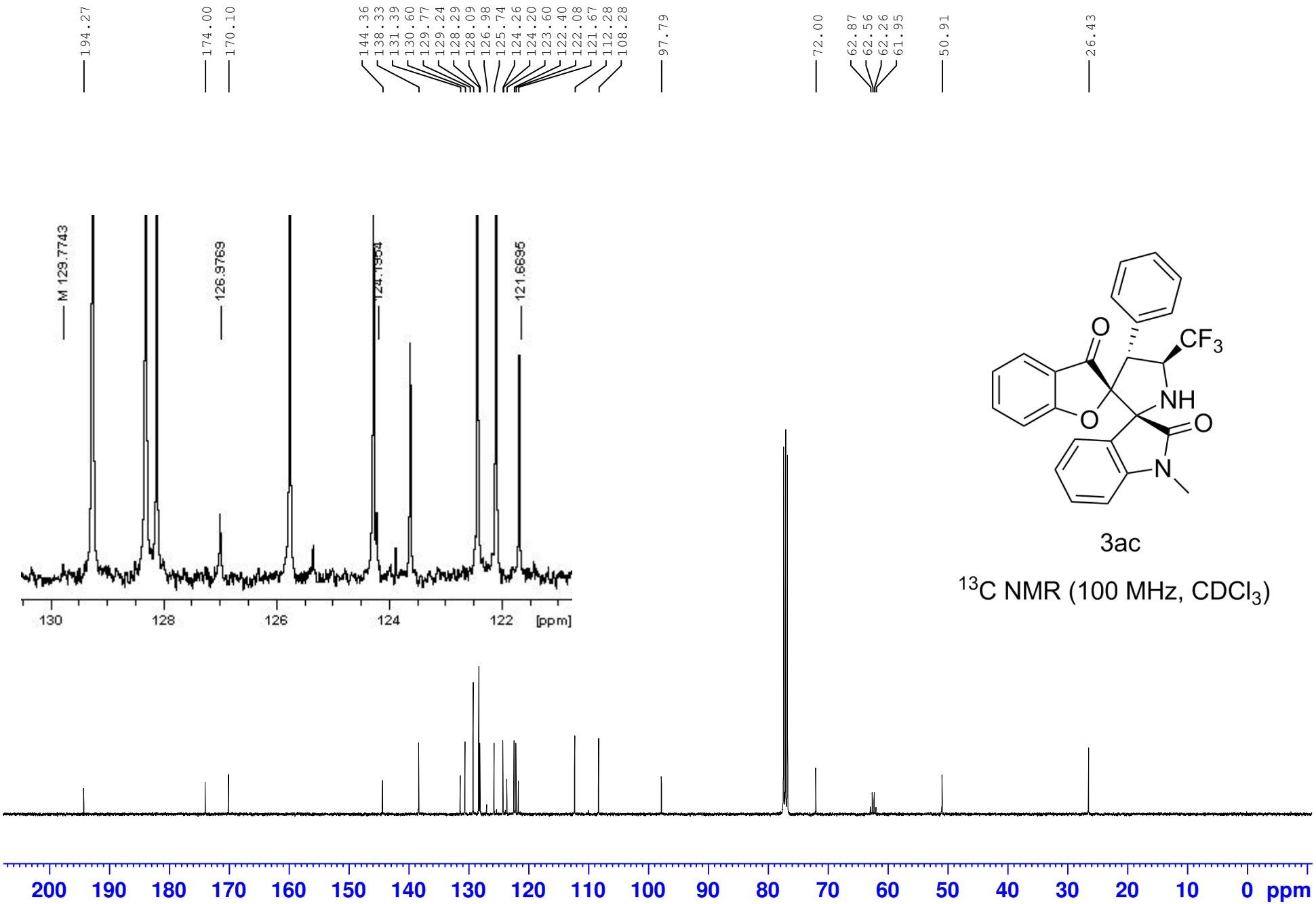


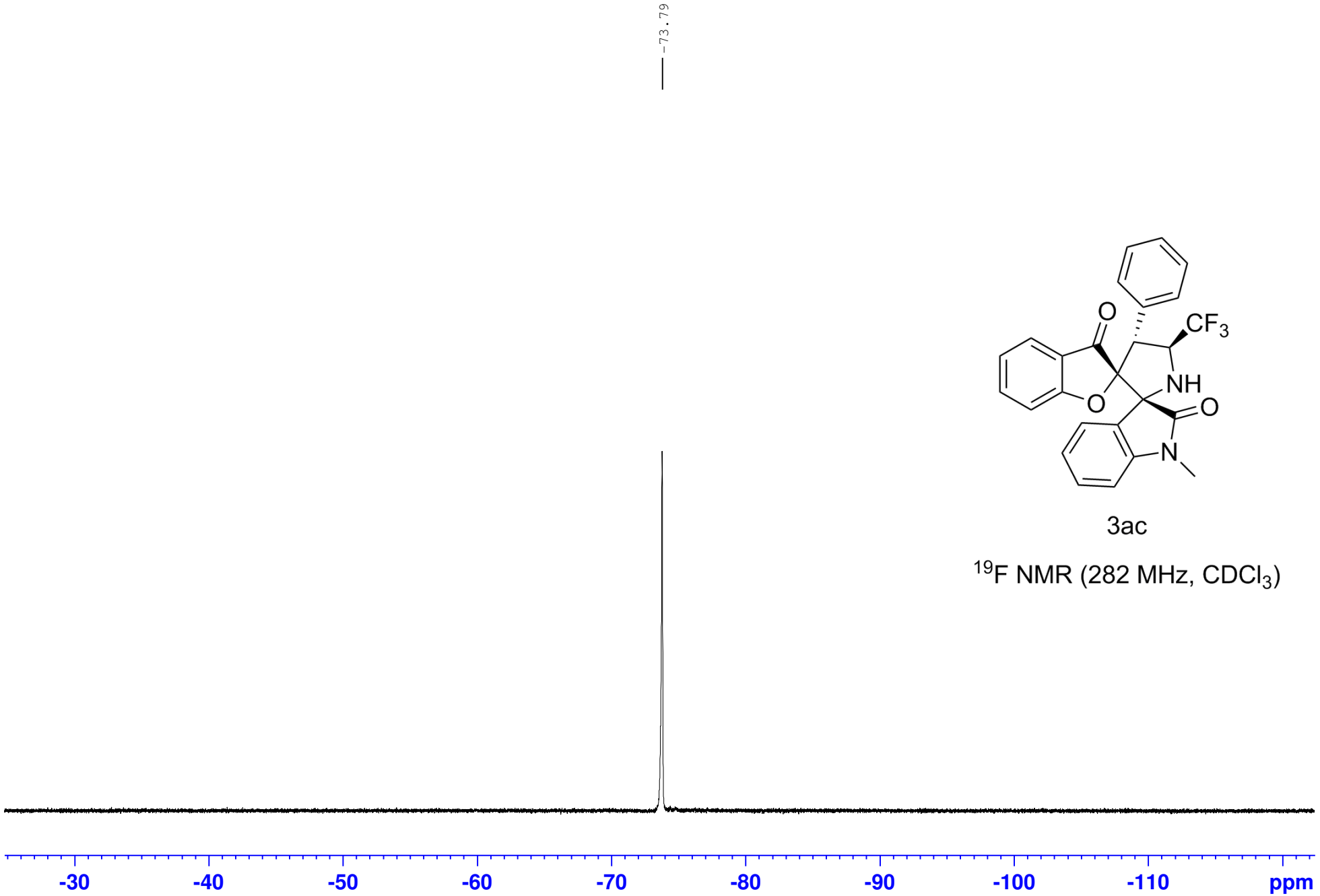


3ab

^{19}F NMR (282 MHz, $CDCl_3$)

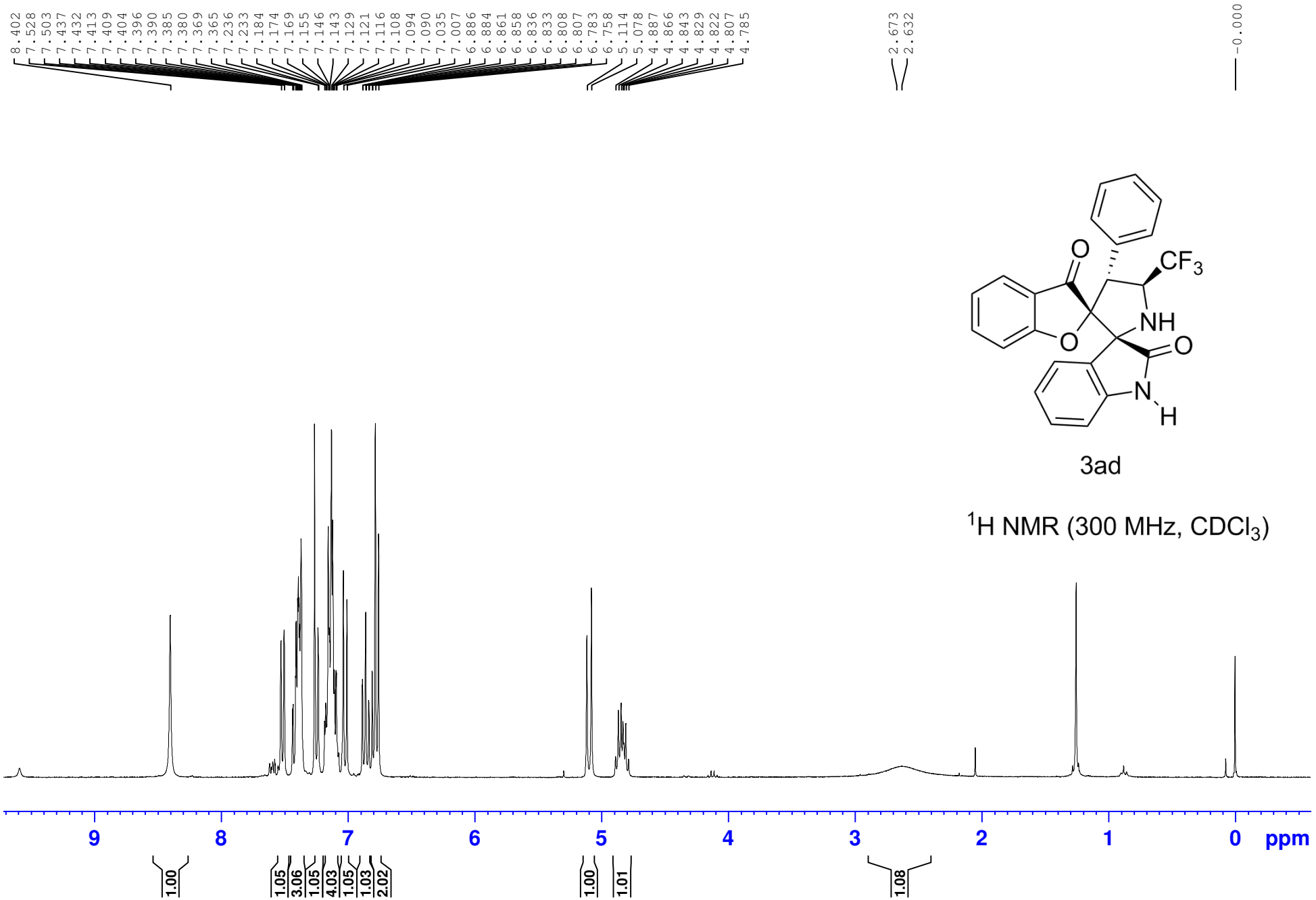

7.531
7.529
7.506
7.504
7.440
7.435
7.416
7.412
7.408
7.387
7.383
7.360
7.356
7.233
7.230
7.207
7.203
7.176
7.172
7.165
7.151
7.126
7.120
7.106
7.085
7.080
7.033
7.005
6.903
6.901
6.878
6.876
6.853
6.850
6.803
6.778
6.754
6.671
6.645
5.163
5.126
4.876
4.853
4.831
4.817
4.809
4.795
4.773
3.196
2.359
-0.000
O
CF3
NH
O
O
N
3ac
1H NMR (300 MHz, CDCl3)
9
8
7
6
5
4
3
2
1
0
ppm
1.00
3.02
5.09
1.01
1.07
1.00
1.01
1.00
0.99
3.03
1.08

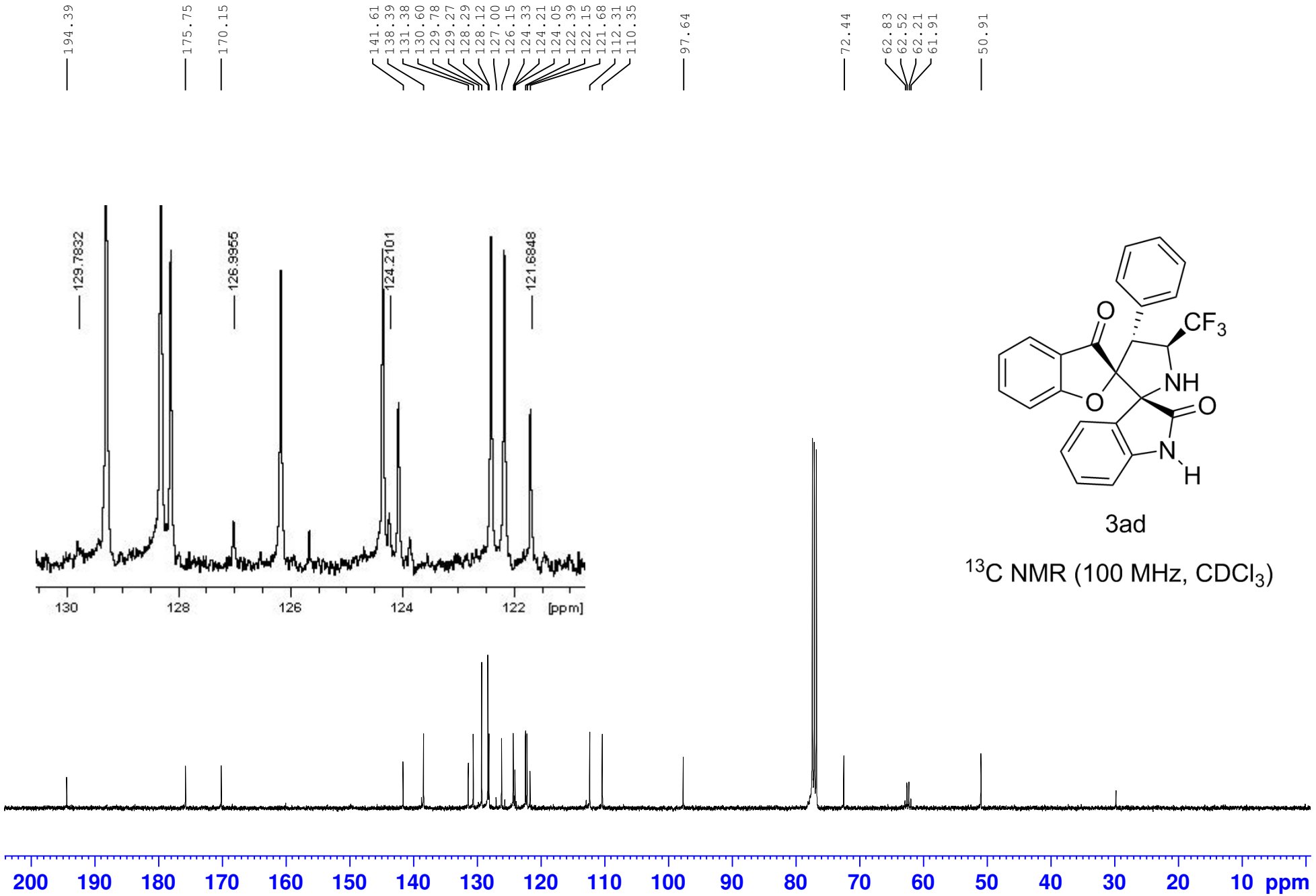

194.27
174.00
170.10
144.36
138.33
131.39
130.60
129.77
129.24
128.29
128.09
126.98
125.74
124.26
124.20
123.60
122.40
122.08
121.67
112.28
108.28
97.79
72.00
62.87
62.56
62.26
61.95
50.91
26.43
M 129.7743
126.9769
124.1964
121.6695
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
3ac
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

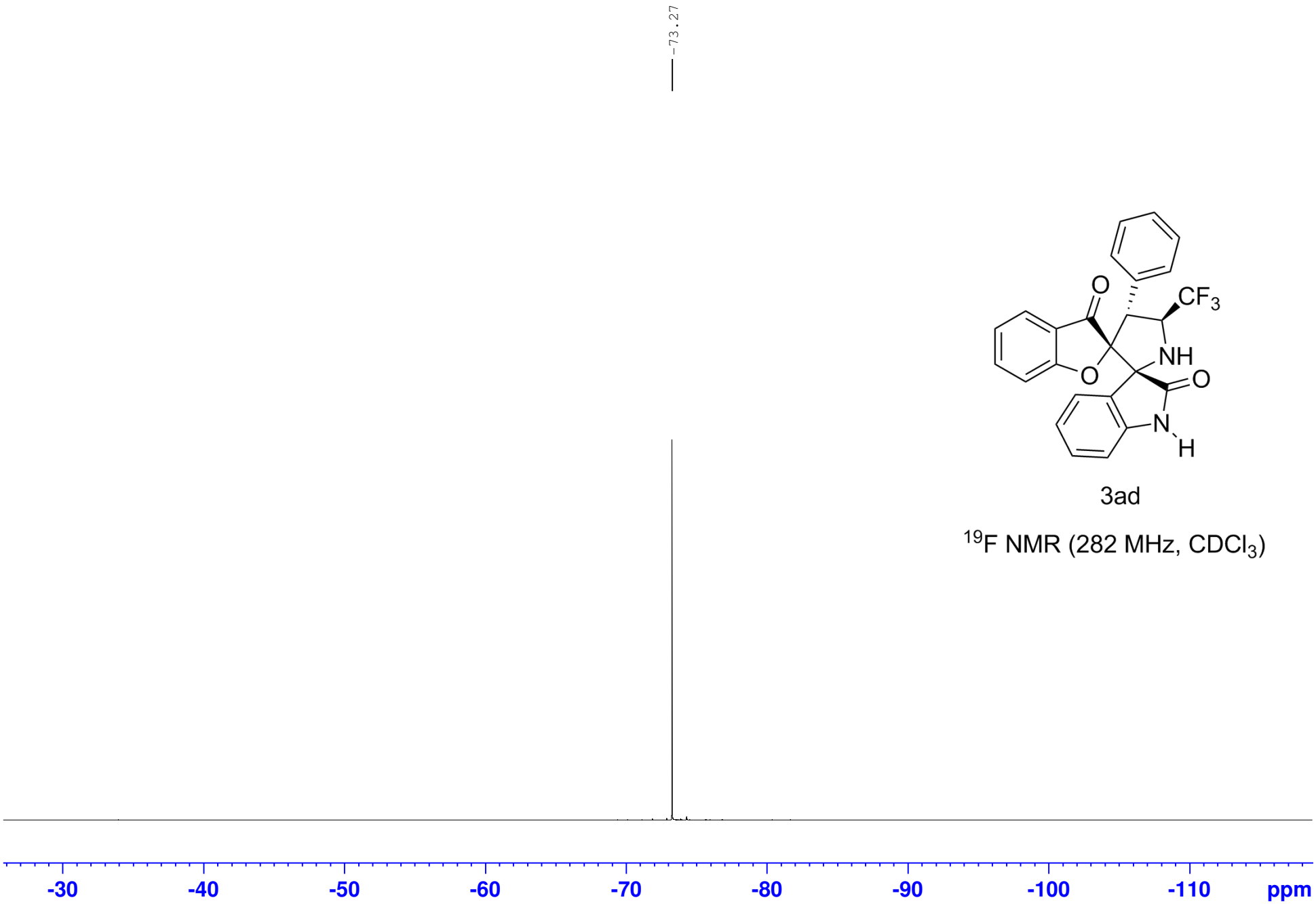


3ac

^{19}F NMR (282 MHz, $CDCl_3$)

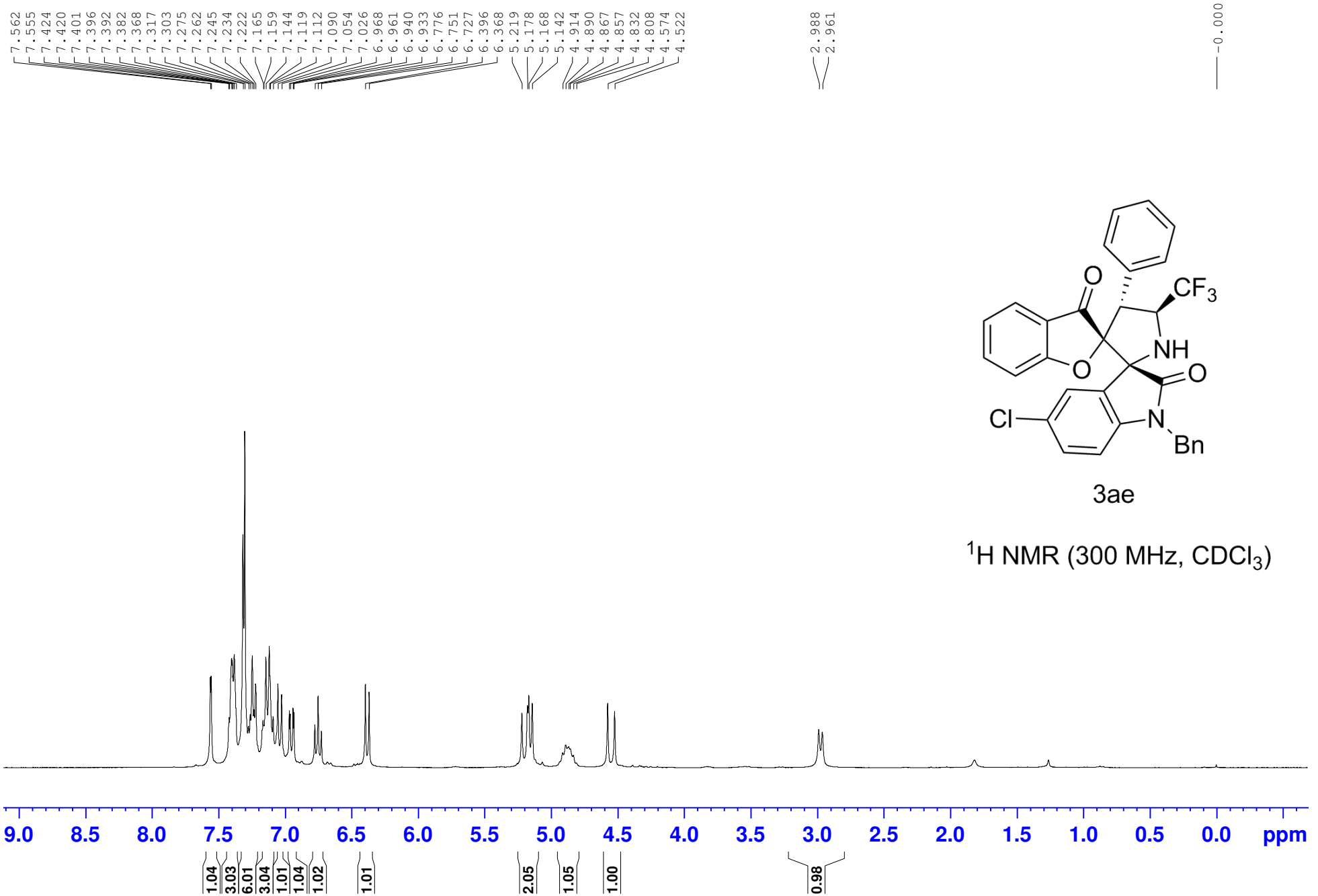

8.402
7.528
7.503
7.437
7.432
7.413
7.409
7.404
7.396
7.390
7.385
7.380
7.369
7.365
7.236
7.233
7.184
7.174
7.169
7.155
7.146
7.143
7.129
7.121
7.116
7.108
7.094
7.090
7.035
7.007
6.886
6.884
6.861
6.858
6.836
6.833
6.808
6.807
6.783
6.758
5.114
5.078
4.887
4.866
4.843
4.829
4.822
4.807
4.785
2.673
2.632
-0.000
O
CF3
NH
O
O
N
H
3ad
1H NMR (300 MHz, CDCl3)
9
8
7
6
5
4
3
2
1
0
ppm
1.00
1.05
3.06
1.05
4.03
1.05
1.03
2.02
1.00
1.01
1.08


194.39
175.75
170.15
141.61
138.39
131.38
130.60
129.78
129.27
128.29
128.12
127.00
126.15
124.33
124.21
124.05
122.39
122.15
121.68
112.31
110.35
97.64
72.44
62.83
62.52
62.21
61.91
50.91
129.7832
126.9955
124.2101
121.6848
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
H
3ad
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm



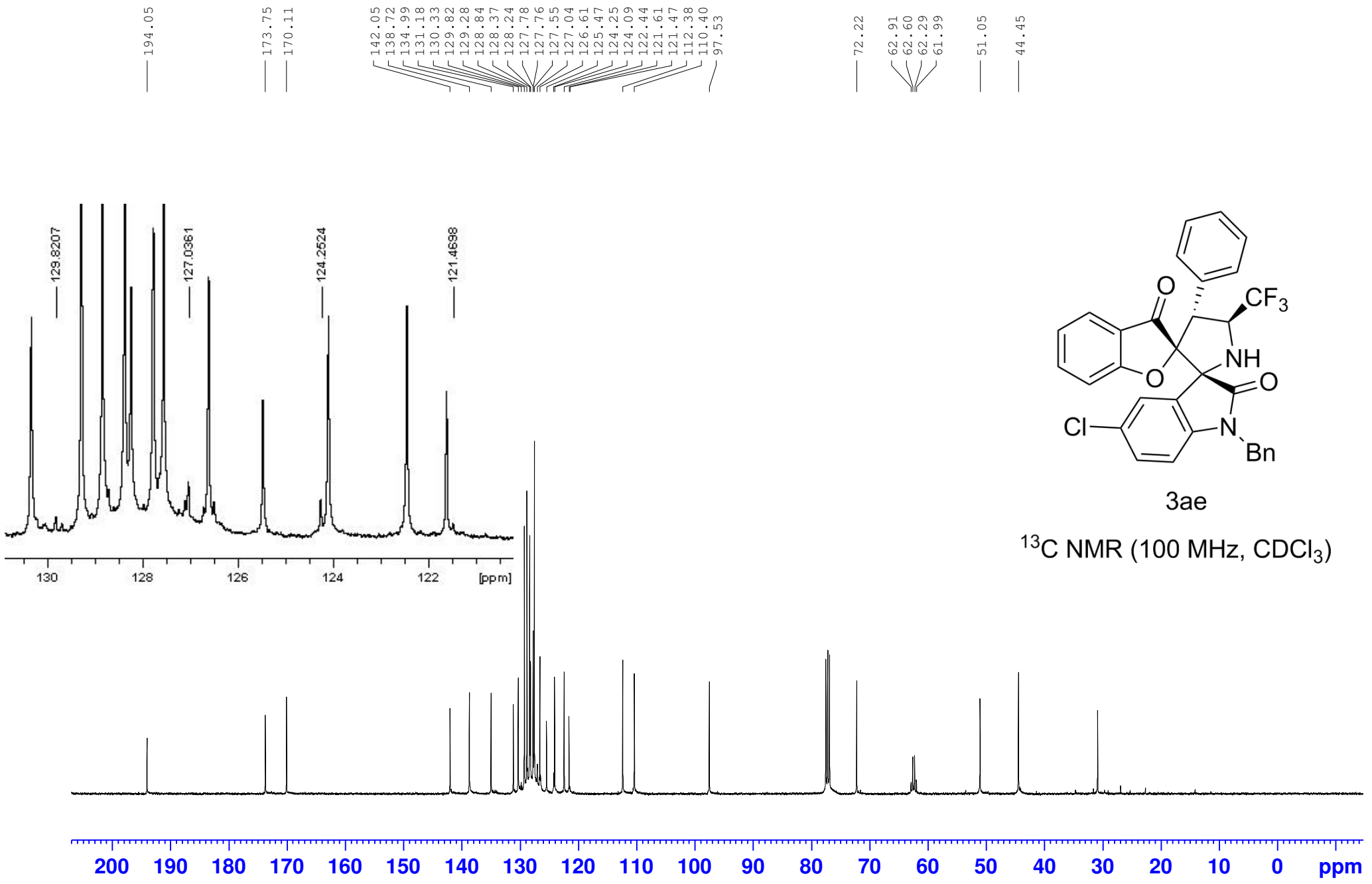
3ad

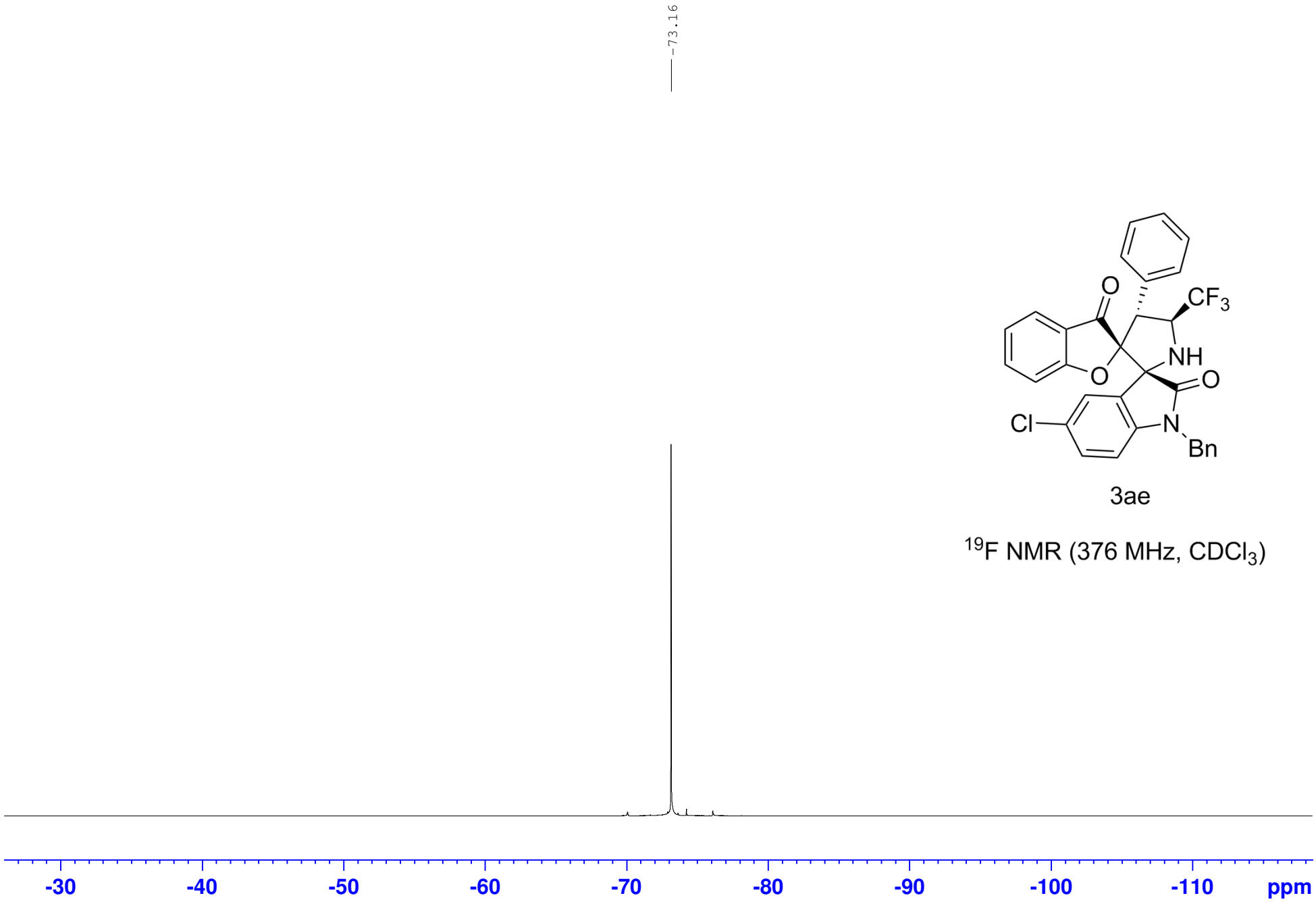
^{19}F NMR (282 MHz, $CDCl_3$)



3ae

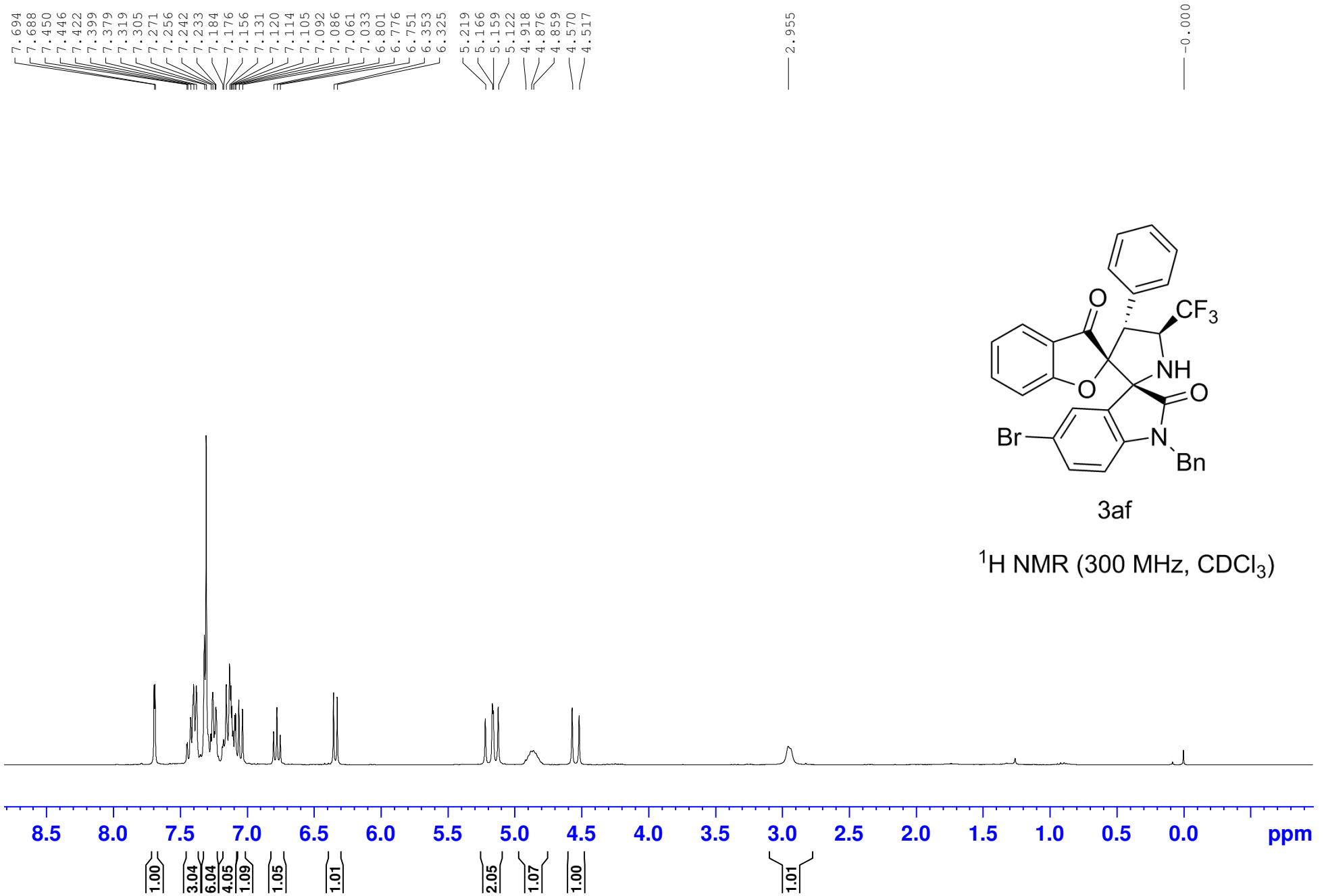
^{1}H NMR (300 MHz, $CDCl_3$)

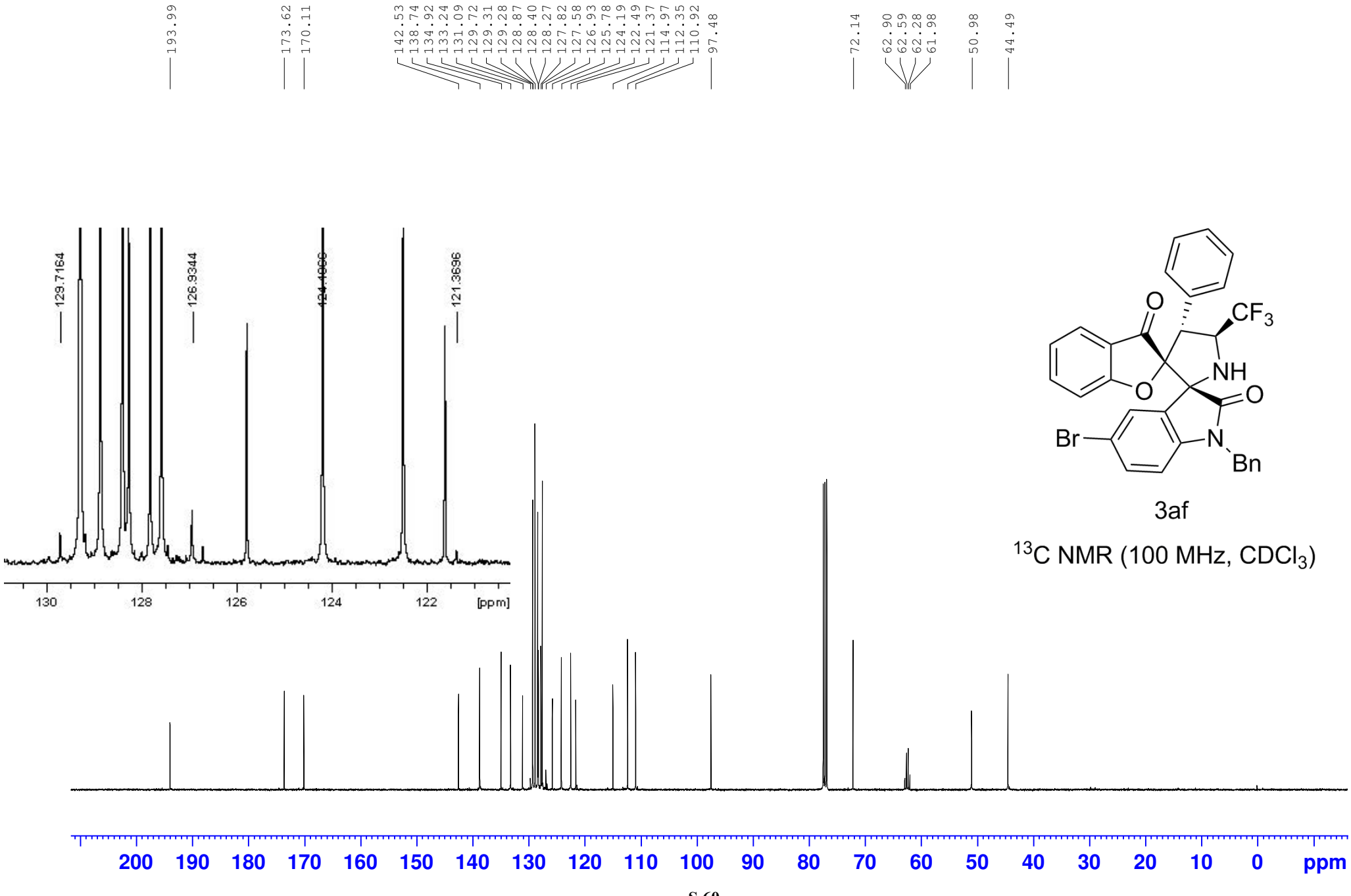

194.05
173.75
170.11
142.05
138.72
134.99
131.18
130.33
129.82
129.28
128.84
128.37
128.24
127.78
127.76
127.55
127.04
126.61
125.47
124.25
124.09
122.44
121.61
121.47
112.38
110.40
97.53
72.22
62.91
62.60
62.29
61.99
51.05
44.45
129.8207
127.0361
124.2524
121.4698
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
Cl
N
Bn
3ae
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



3ae

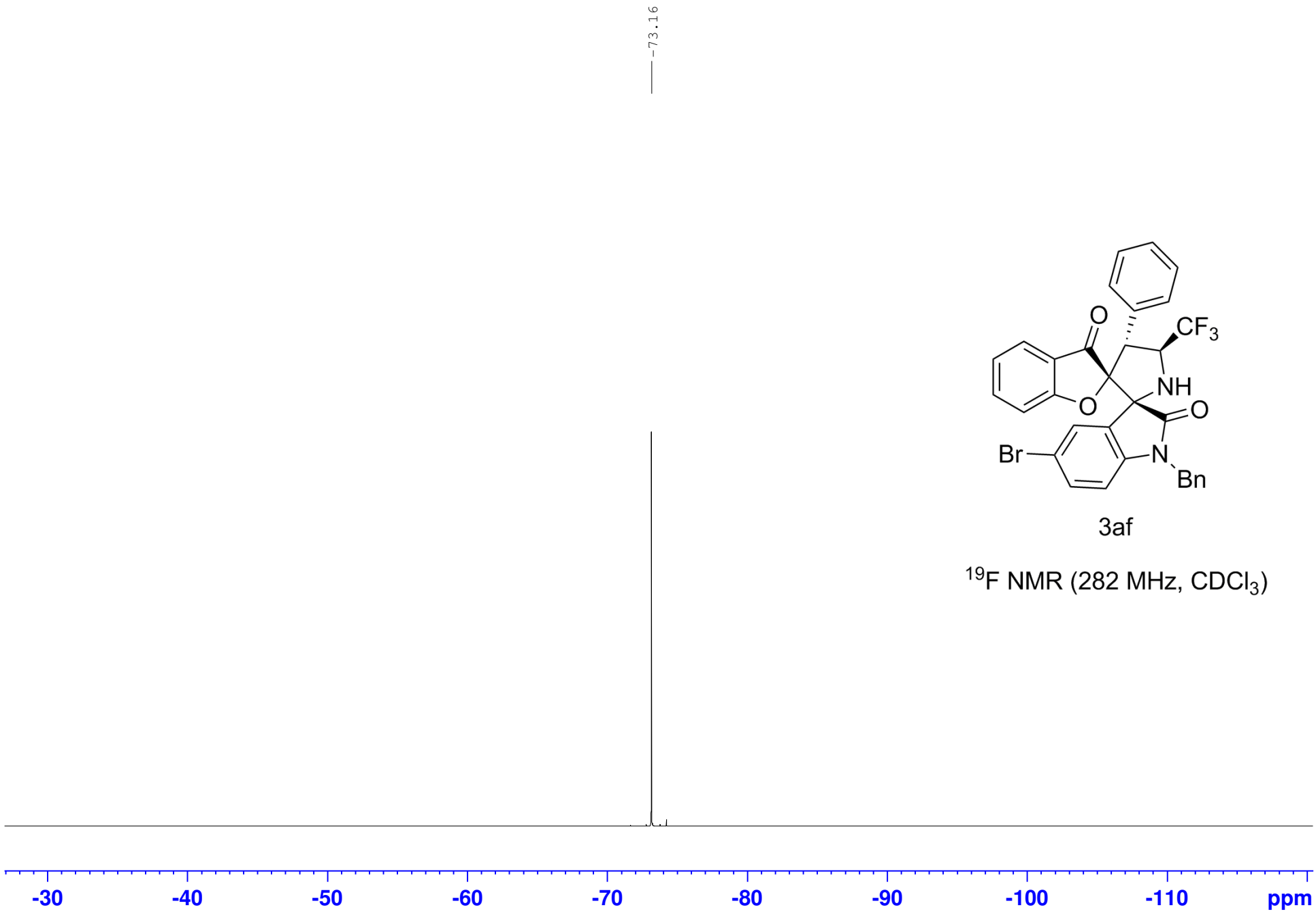
^{19}F NMR (376 MHz, $CDCl_3$)


7.694
7.688
7.450
7.446
7.422
7.399
7.379
7.319
7.305
7.271
7.256
7.242
7.233
7.184
7.176
7.156
7.131
7.120
7.114
7.105
7.092
7.086
7.061
7.033
6.801
6.776
6.751
6.353
6.325
5.219
5.166
5.159
5.122
4.918
4.876
4.859
4.570
4.517
2.955
0.000
O
CF3
NH
O
O
Br
N
Bn
3af
1H NMR (300 MHz, CDCl3)
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
ppm
1.00
3.04
6.04
4.05
1.09
1.05
1.01
2.05
1.07
1.00
1.01



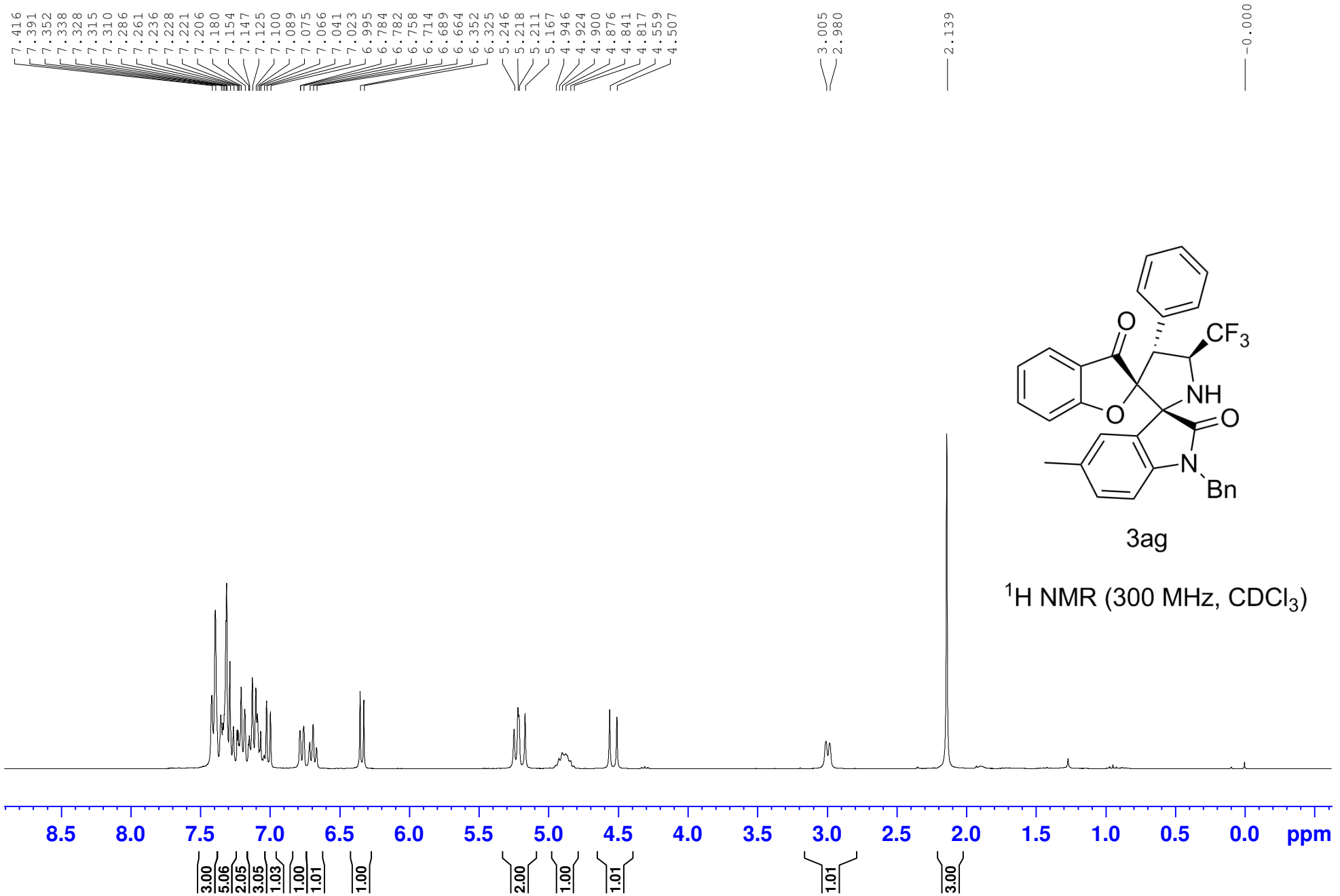
3af

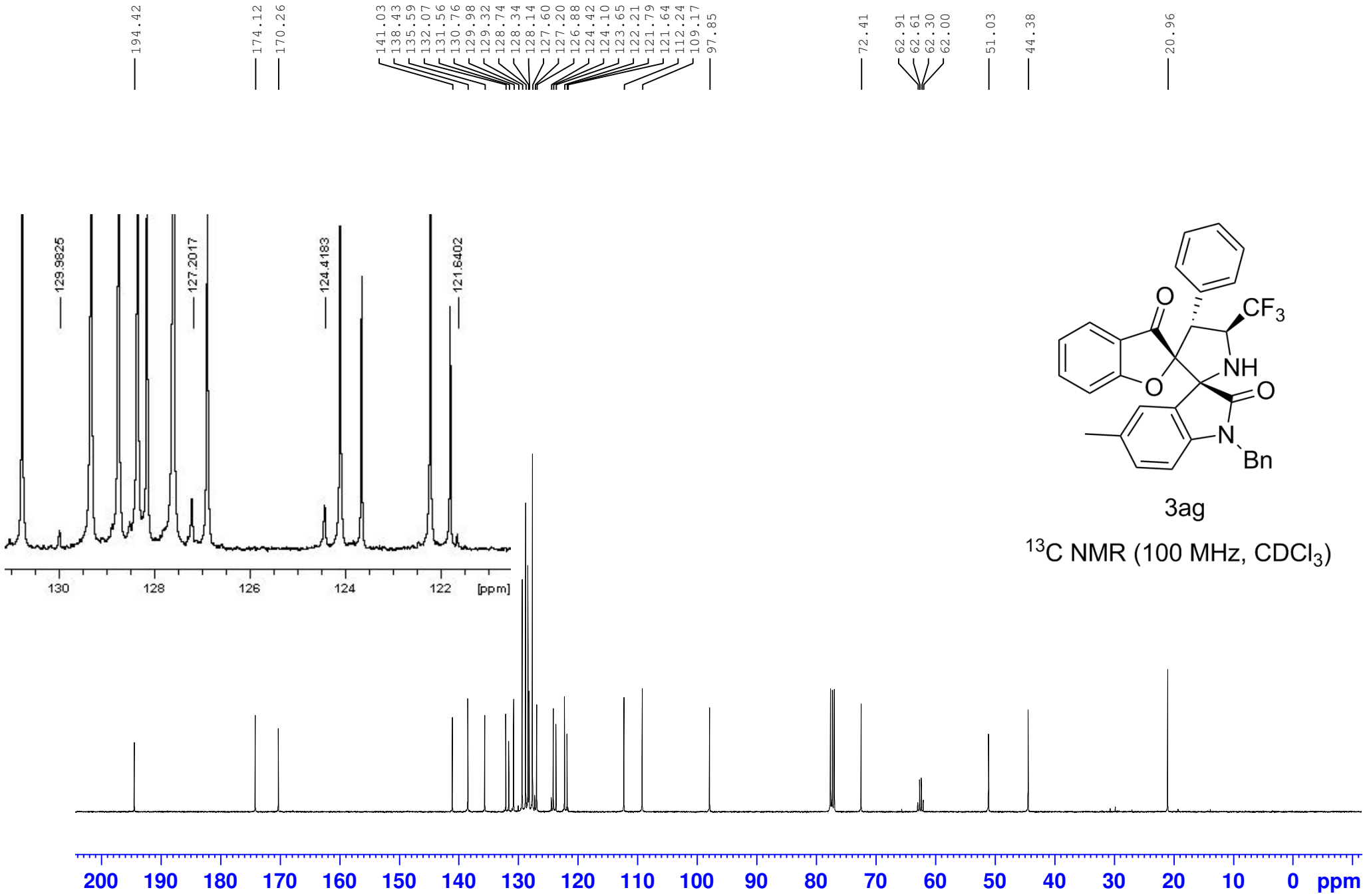
^{13}C NMR (100 MHz, $CDCl_3$)

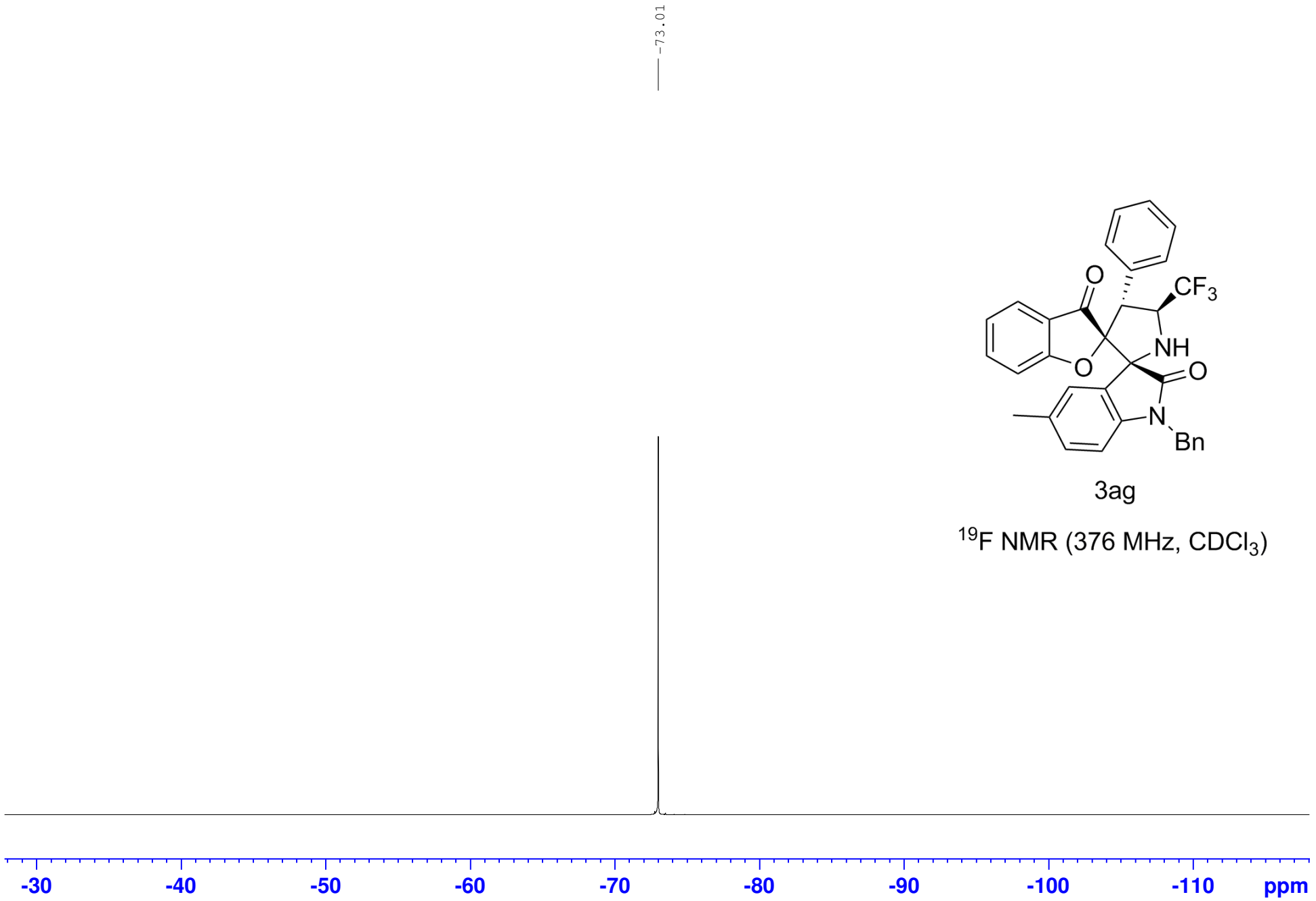


3af

^{19}F NMR (282 MHz, $CDCl_3$)

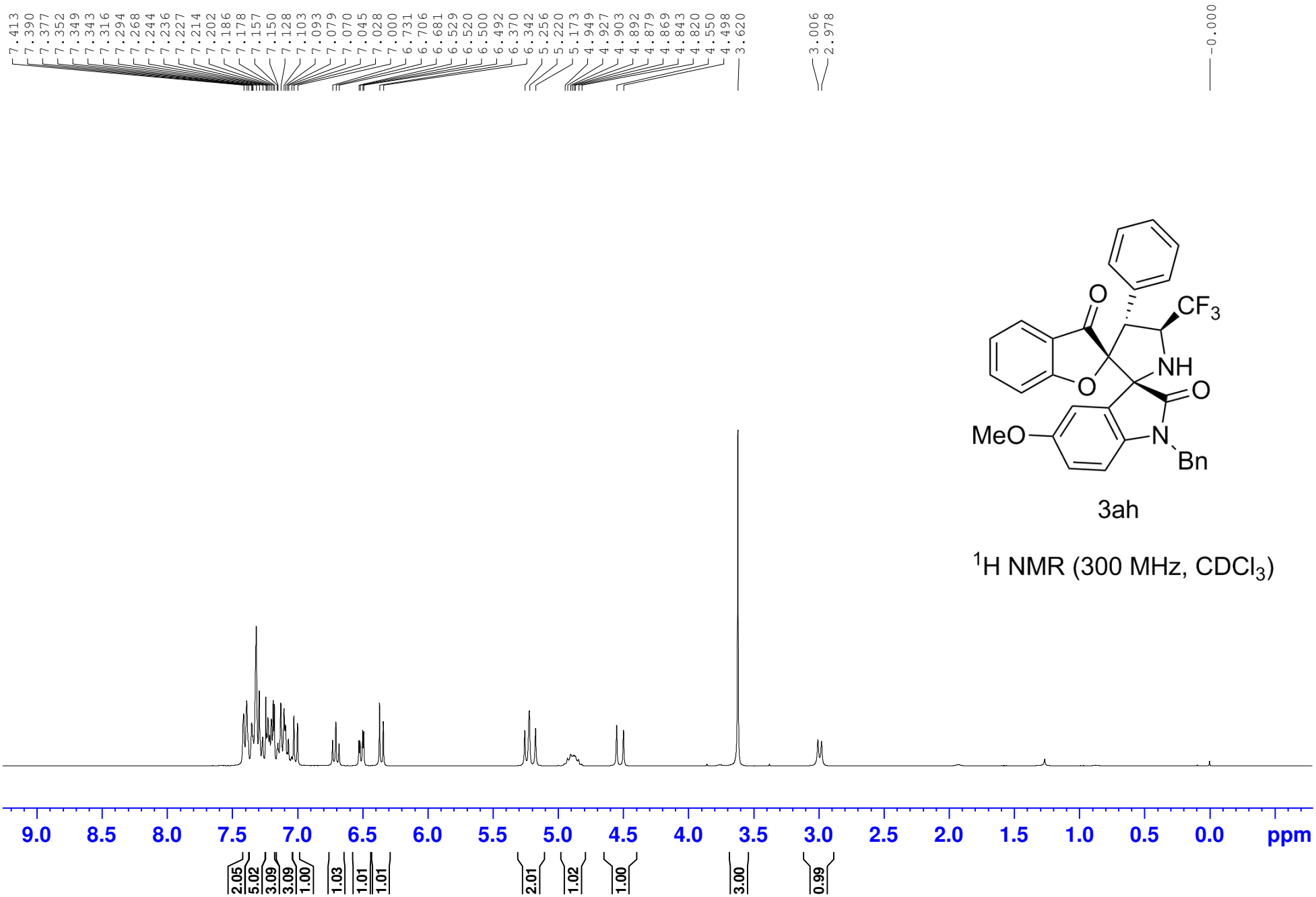

7.416
7.391
7.352
7.338
7.328
7.315
7.310
7.286
7.261
7.236
7.228
7.221
7.206
7.180
7.154
7.147
7.125
7.100
7.089
7.075
7.066
7.041
7.023
6.995
6.784
6.782
6.758
6.714
6.689
6.664
6.352
6.325
5.246
5.218
5.211
5.167
4.946
4.924
4.900
4.876
4.841
4.817
4.559
4.507
3.005
2.980
2.139
-0.000
O
CF3
NH
O
O
N
Bn
3ag
1H NMR (300 MHz, CDCl3)
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
ppm
3.00
5.06
2.05
3.05
1.03
1.00
1.01
1.00
2.00
1.00
1.01
1.01
3.00

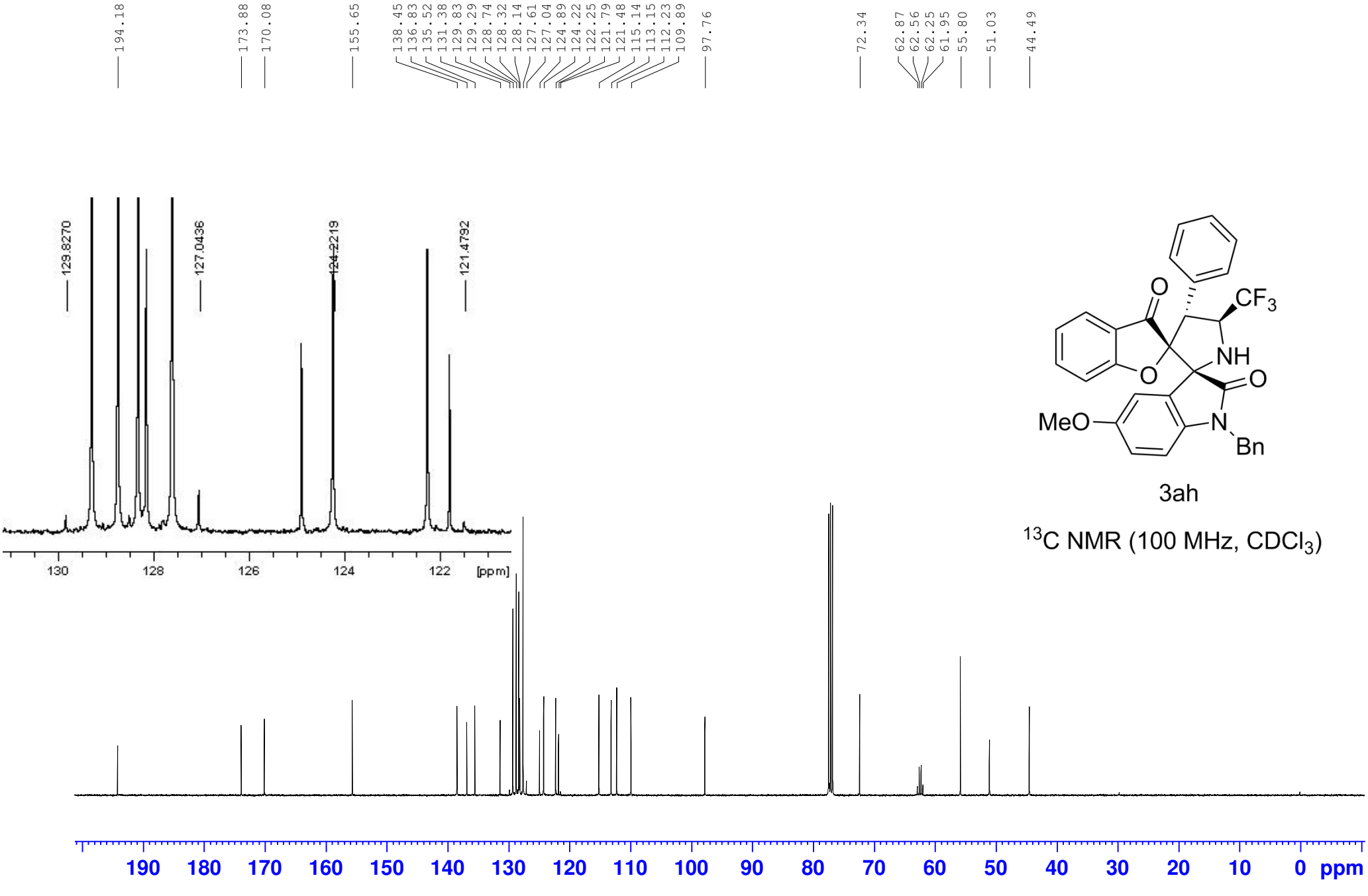

194.42
174.12
170.26
141.03
138.43
135.59
132.07
131.56
130.76
129.98
129.32
128.74
128.34
128.14
127.60
127.20
126.88
124.42
124.10
123.65
122.21
121.79
121.64
112.24
109.17
97.85
72.41
62.91
62.61
62.30
62.00
51.03
44.38
20.96
129.9825
127.2017
124.4183
121.6402
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
N
Bn
3ag
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

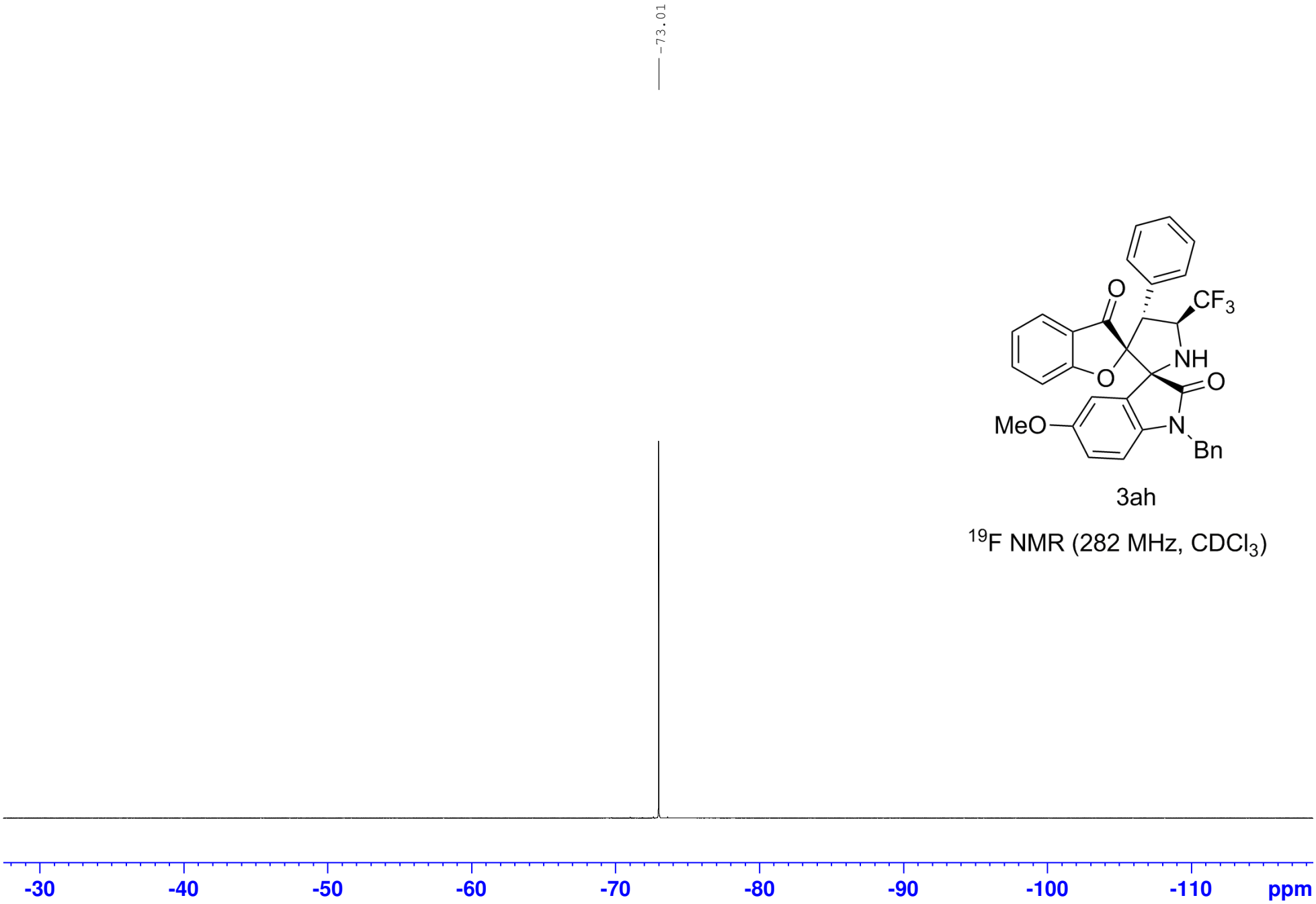


3ag

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

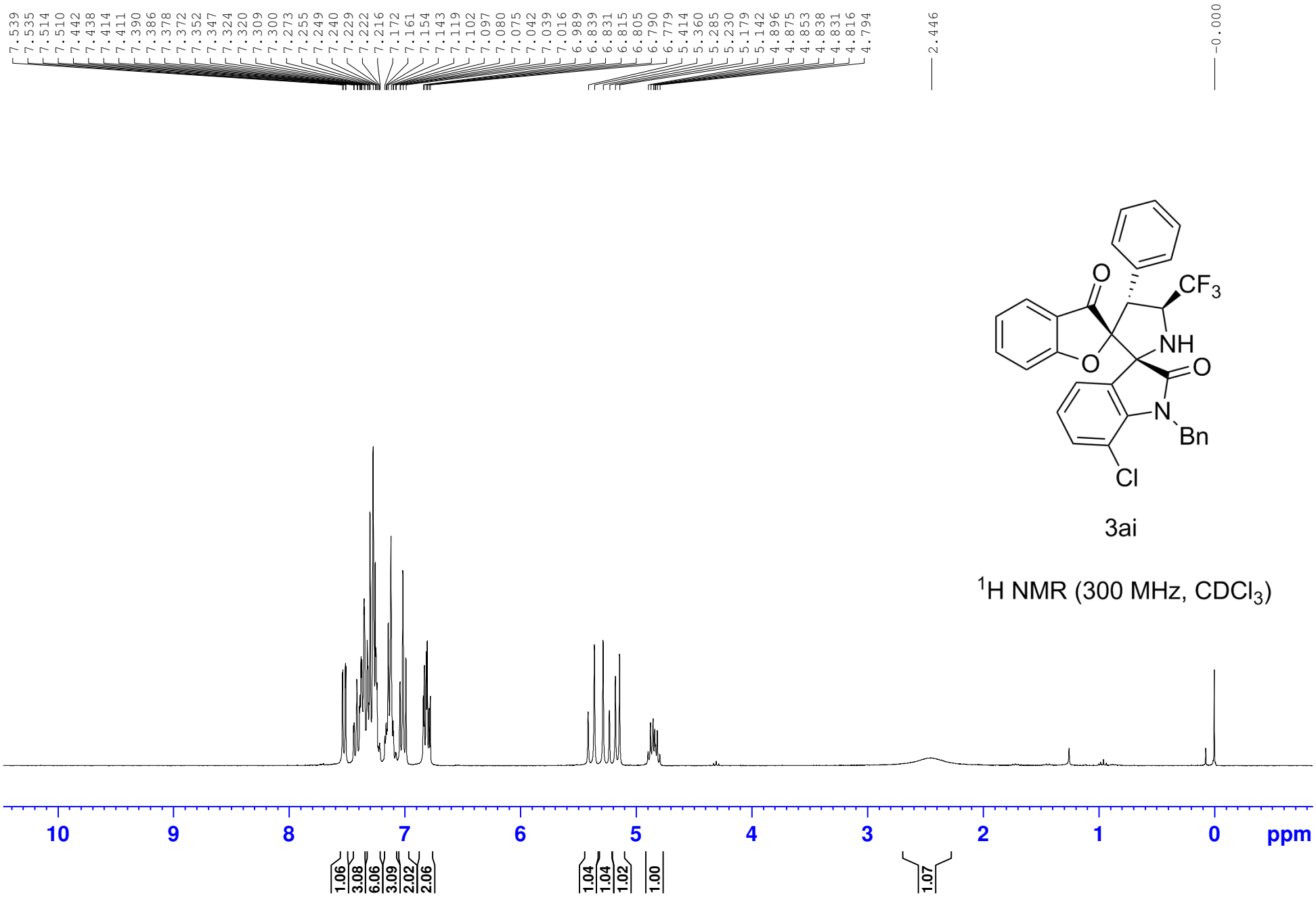

7.413
7.390
7.377
7.352
7.349
7.343
7.316
7.294
7.268
7.244
7.236
7.227
7.214
7.202
7.186
7.178
7.157
7.150
7.128
7.103
7.093
7.079
7.070
7.045
7.028
7.000
6.731
6.706
6.681
6.529
6.520
6.500
6.492
6.370
6.342
5.256
5.220
5.173
4.949
4.927
4.903
4.892
4.879
4.869
4.843
4.820
4.550
4.498
3.620
3.006
2.978
-0.000
O
CF3
NH
O
O
O
MeO
N
Bn
3ah
1H NMR (300 MHz, CDCl3)
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
ppm
2.05
5.02
3.09
3.09
1.00
1.03
1.01
1.01
2.01
1.02
1.00
3.00
0.99

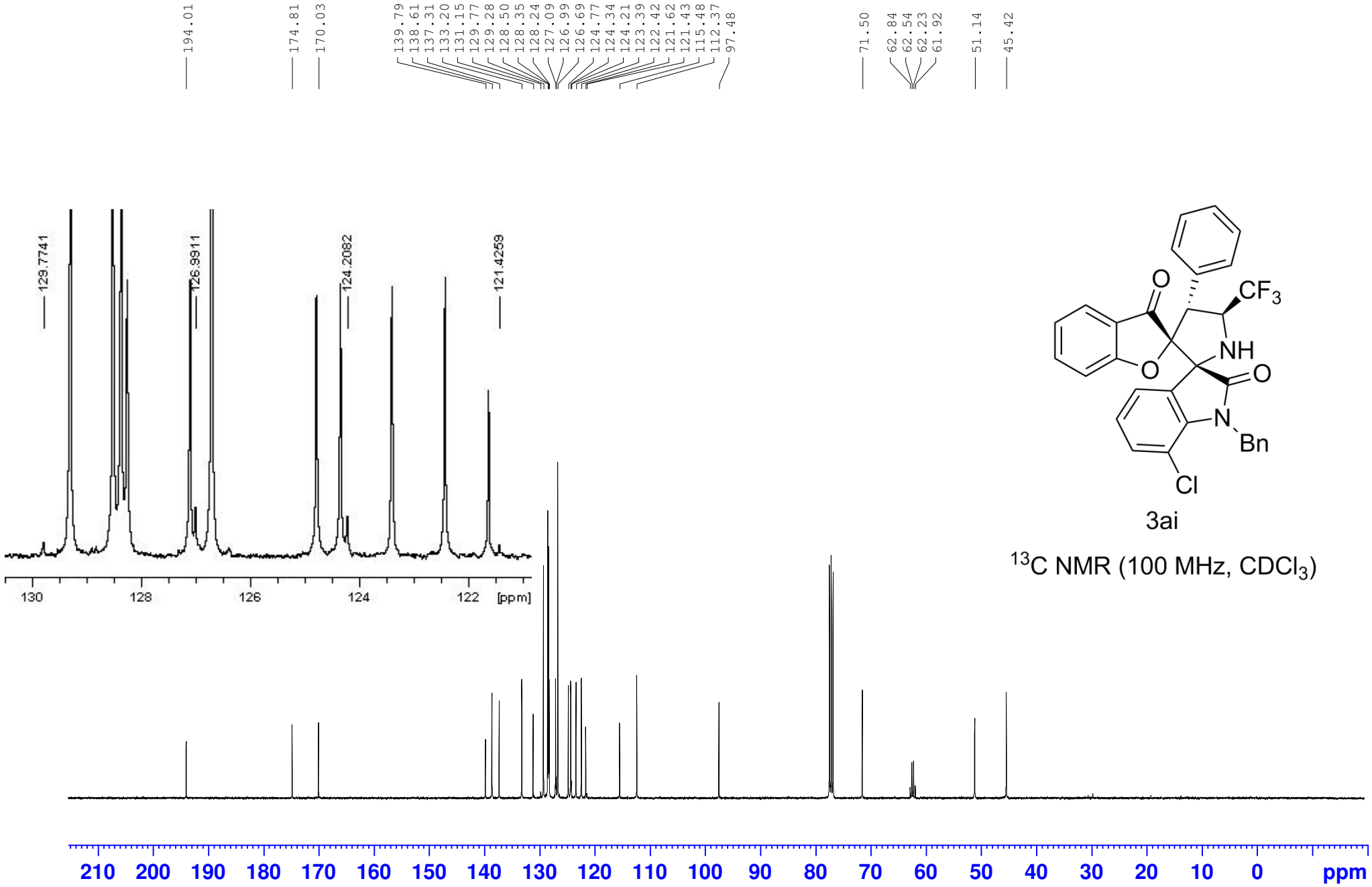

194.18
173.88
170.08
155.65
138.45
136.83
135.52
131.38
129.83
129.29
128.74
128.32
128.14
127.61
127.04
124.89
124.22
122.25
121.79
121.48
115.14
113.15
112.23
109.89
97.76
72.34
62.87
62.56
62.25
61.95
55.80
51.03
44.49
129.8270
127.0436
124.2219
121.4792
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
MeO
N
Bn
3ah
13C NMR (100 MHz, CDCl3)
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

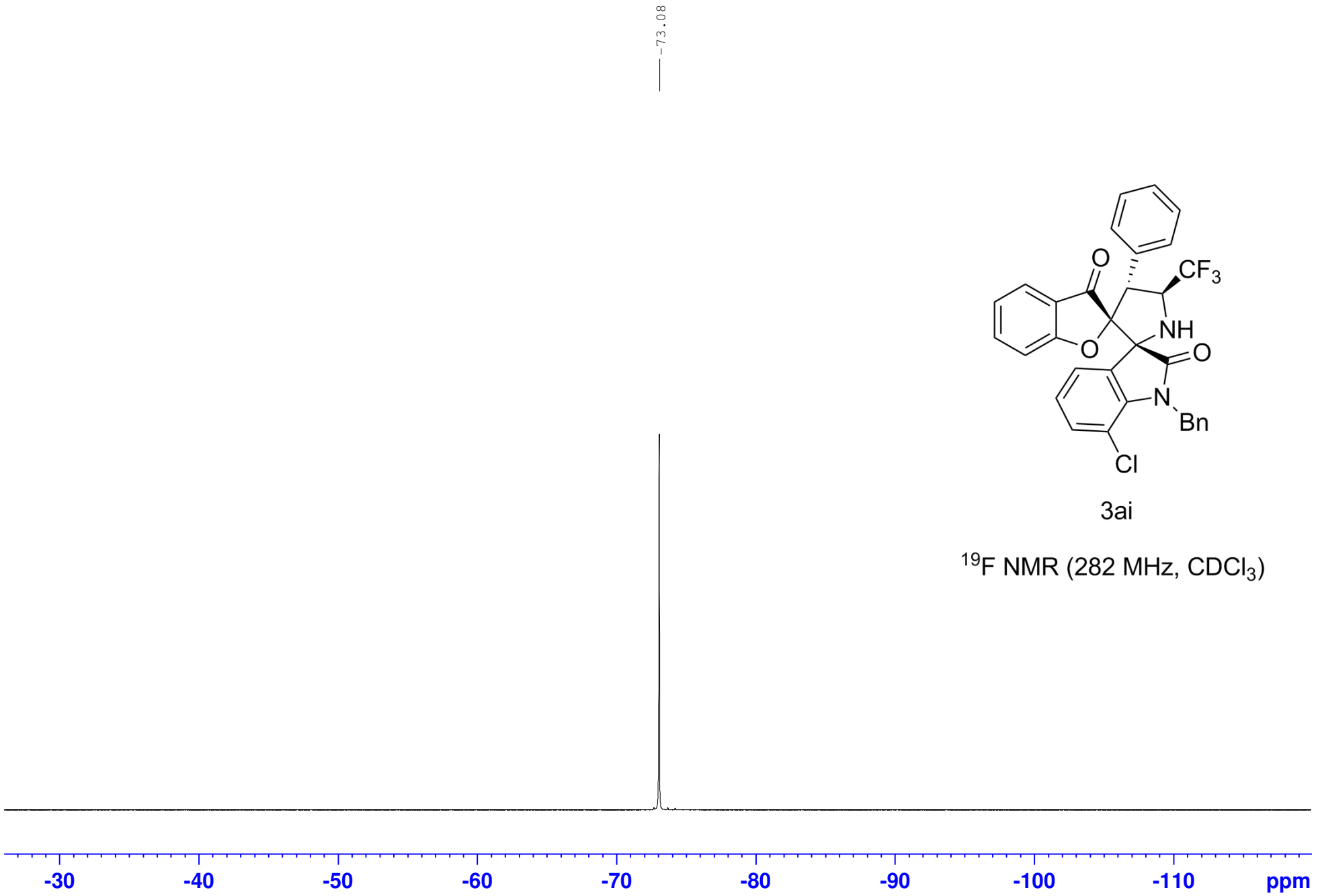


3ah

^{19}F NMR (282 MHz, $CDCl_3$)

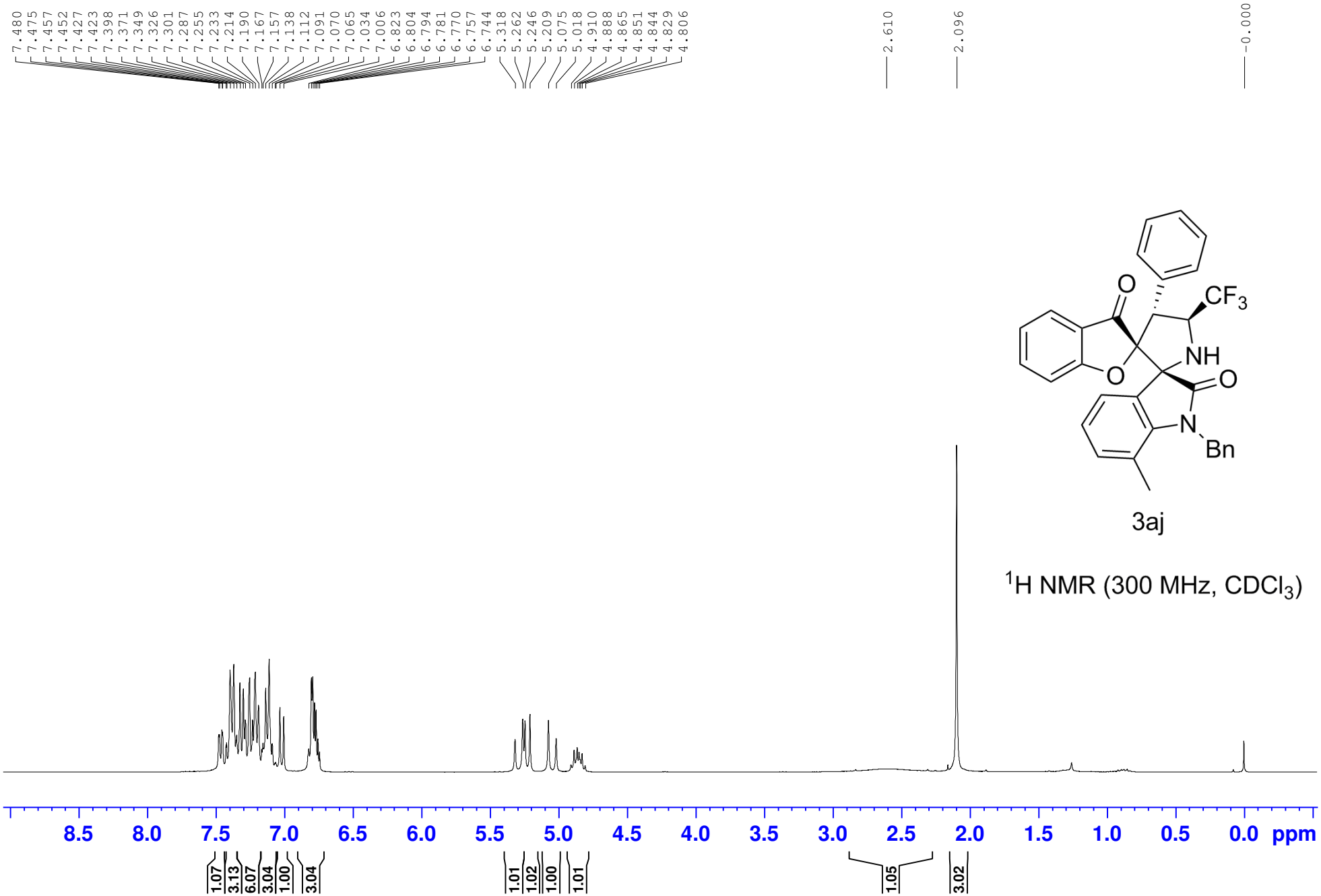

7.539
7.535
7.514
7.510
7.442
7.438
7.414
7.411
7.390
7.386
7.378
7.372
7.352
7.347
7.324
7.320
7.309
7.300
7.273
7.255
7.249
7.240
7.229
7.222
7.216
7.172
7.161
7.154
7.143
7.119
7.102
7.097
7.080
7.075
7.042
7.039
7.016
6.989
6.839
6.831
6.815
6.805
6.790
6.779
5.414
5.360
5.285
5.230
5.179
5.142
4.896
4.875
4.853
4.838
4.831
4.816
4.794
2.446
-0.000
O
CF3
NH
O
O
N
Bn
Cl
3ai
1H NMR (300 MHz, CDCl3)
10
9
8
7
6
5
4
3
2
1
0
ppm
1.06
3.08
6.06
3.09
2.02
2.06
1.04
1.04
1.02
1.00
1.07

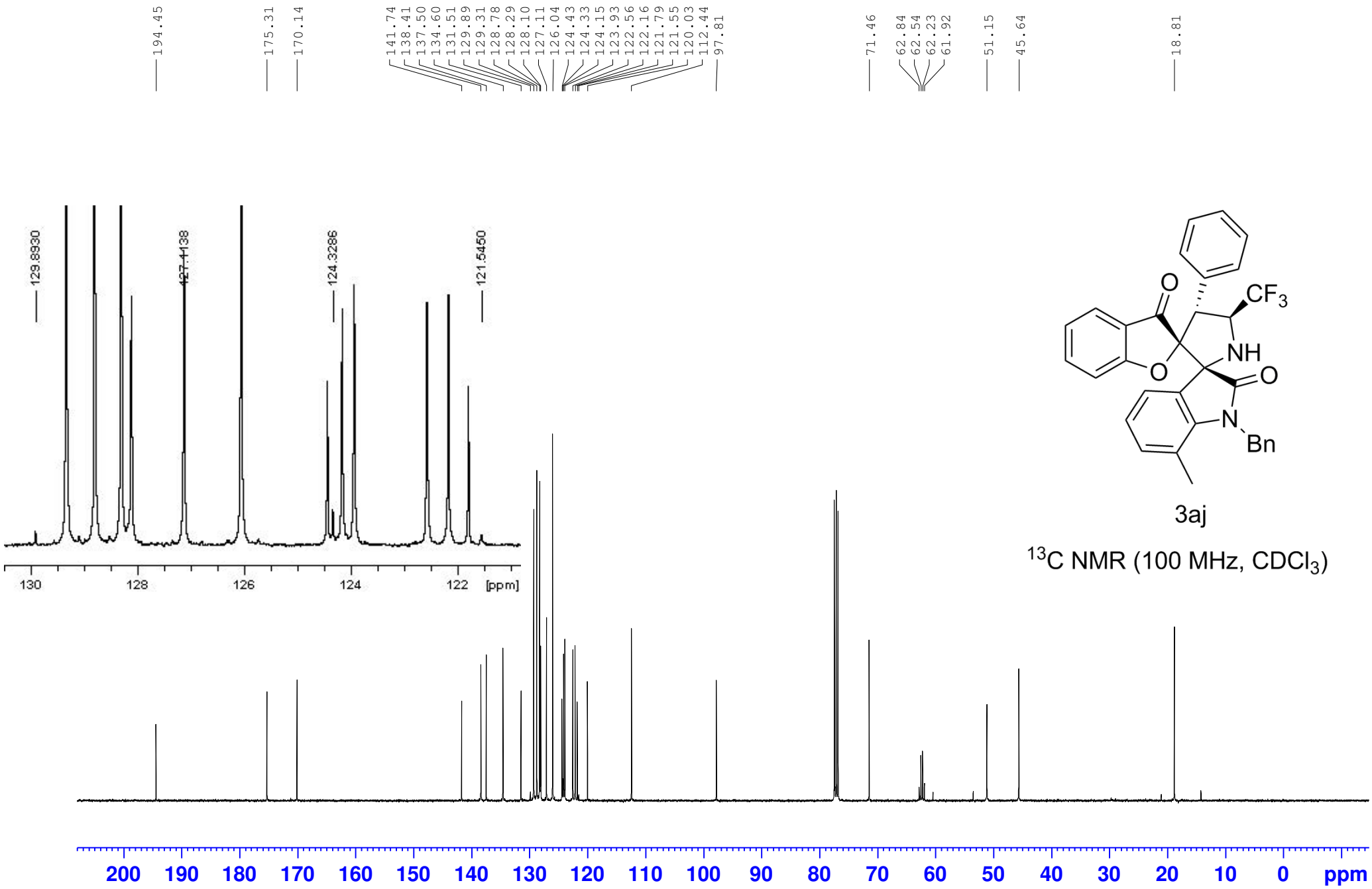

194.01
174.81
170.03
139.79
138.61
137.31
133.20
131.15
129.77
129.28
128.50
128.35
128.24
127.09
126.99
126.69
124.77
124.34
124.21
123.39
122.42
121.62
121.43
115.48
112.37
97.48
71.50
62.84
62.54
62.23
61.92
51.14
45.42
129.7741
126.9911
124.2082
121.4259
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
Bn
Cl
3ai
13C NMR (100 MHz, CDCl3)
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

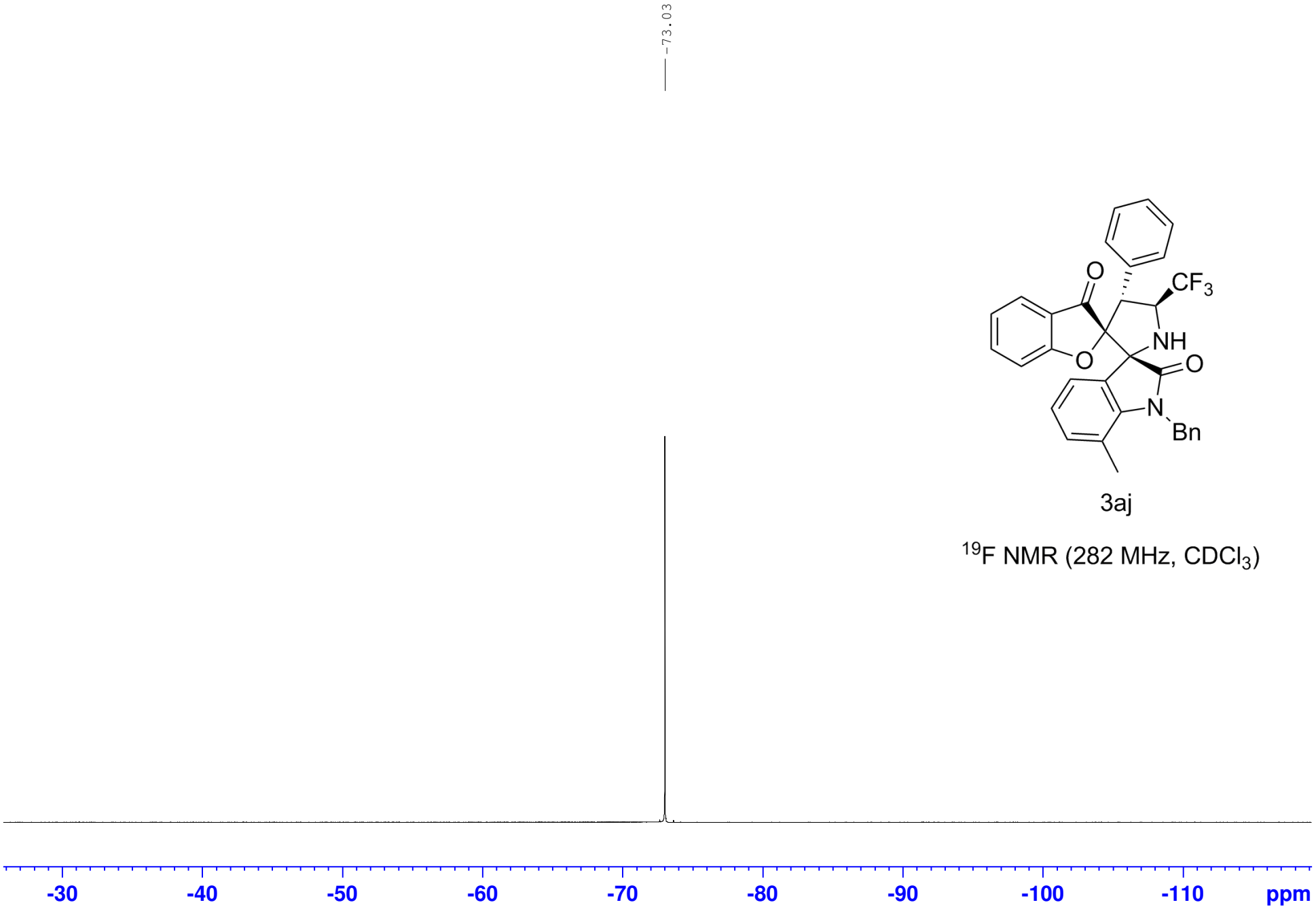


3ai

^{19}F NMR (282 MHz, $CDCl_3$)

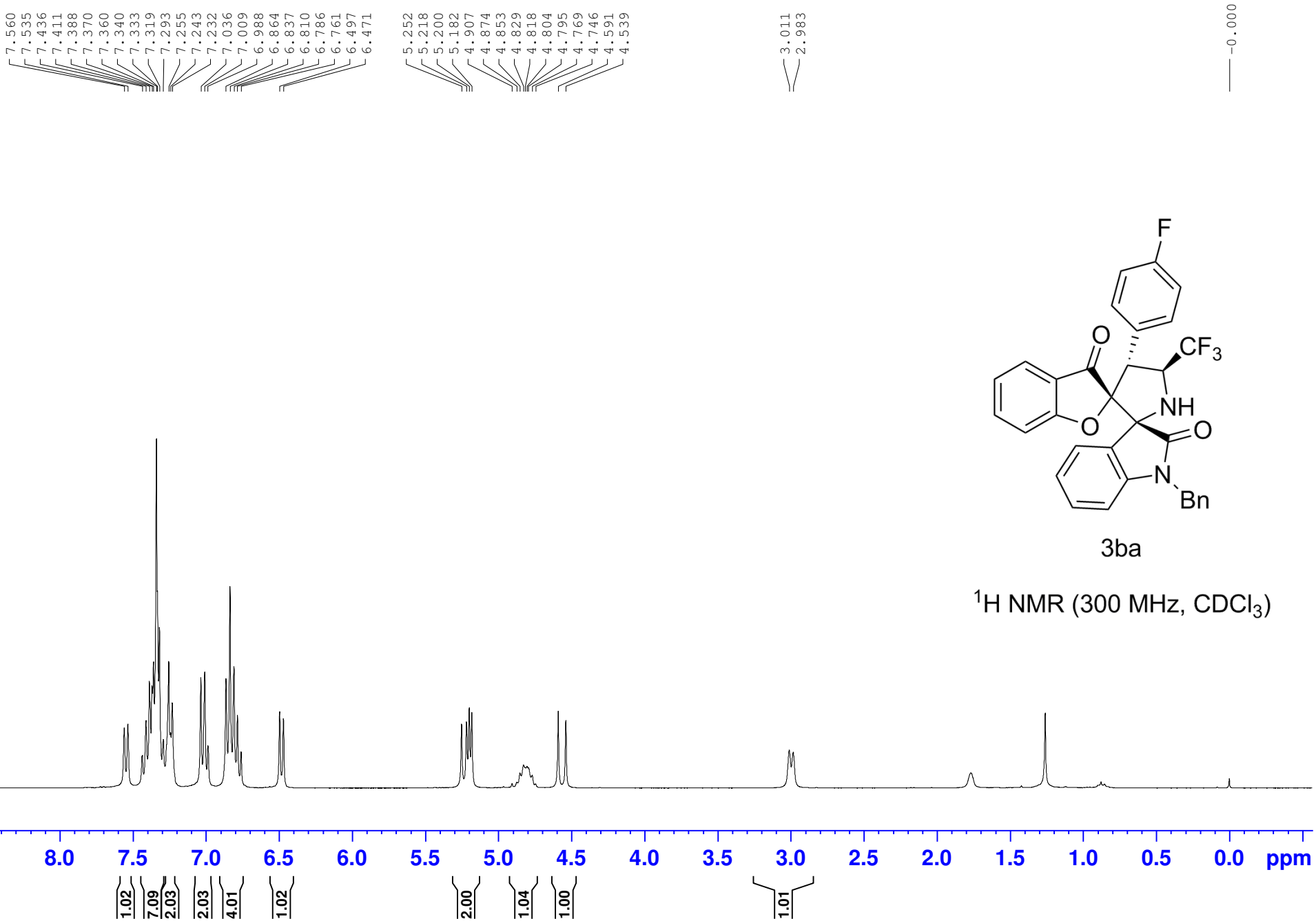

7.480
7.475
7.457
7.452
7.427
7.423
7.398
7.371
7.349
7.326
7.301
7.287
7.255
7.233
7.214
7.190
7.167
7.157
7.138
7.112
7.091
7.070
7.065
7.034
7.006
6.823
6.804
6.794
6.781
6.770
6.757
6.744
5.318
5.262
5.246
5.209
5.075
5.018
4.910
4.888
4.865
4.851
4.844
4.829
4.806
2.610
2.096
-0.000
O
CF3
NH
O
O
N
Bn
3aj
1H NMR (300 MHz, CDCl3)
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
ppm
1.07
3.13
6.07
3.04
1.00
3.04
1.01
1.02
1.00
1.01
1.05
3.02

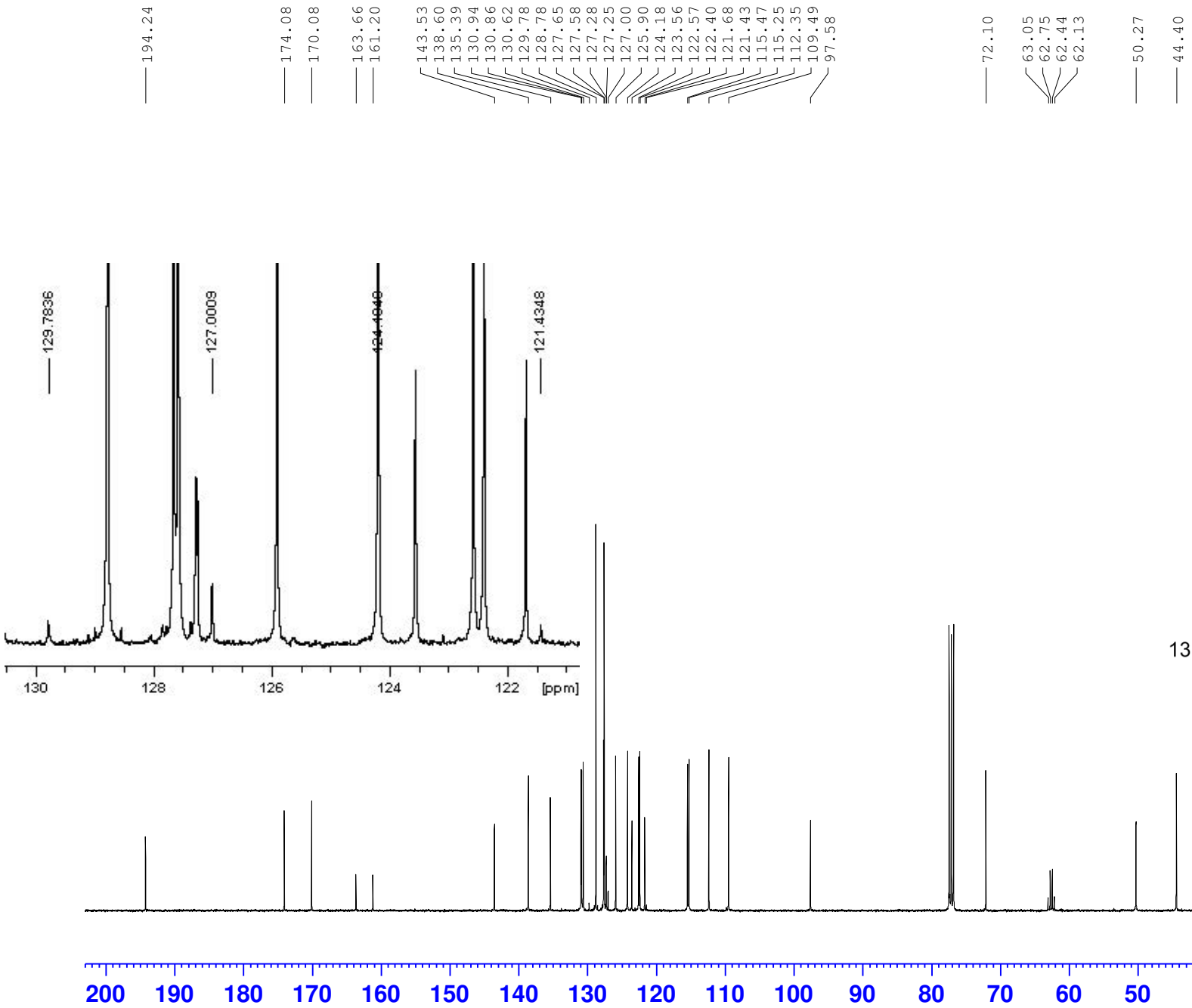

194.45
175.31
170.14
141.74
138.41
137.50
134.60
131.51
129.89
129.31
128.78
128.29
128.10
127.11
126.04
124.43
124.33
124.15
123.93
122.56
122.16
121.79
121.55
120.03
112.44
97.81
71.46
62.84
62.54
62.23
61.92
51.15
45.64
18.81
129.8930
127.4138
124.3286
121.5450
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
N
Bn
3aj
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

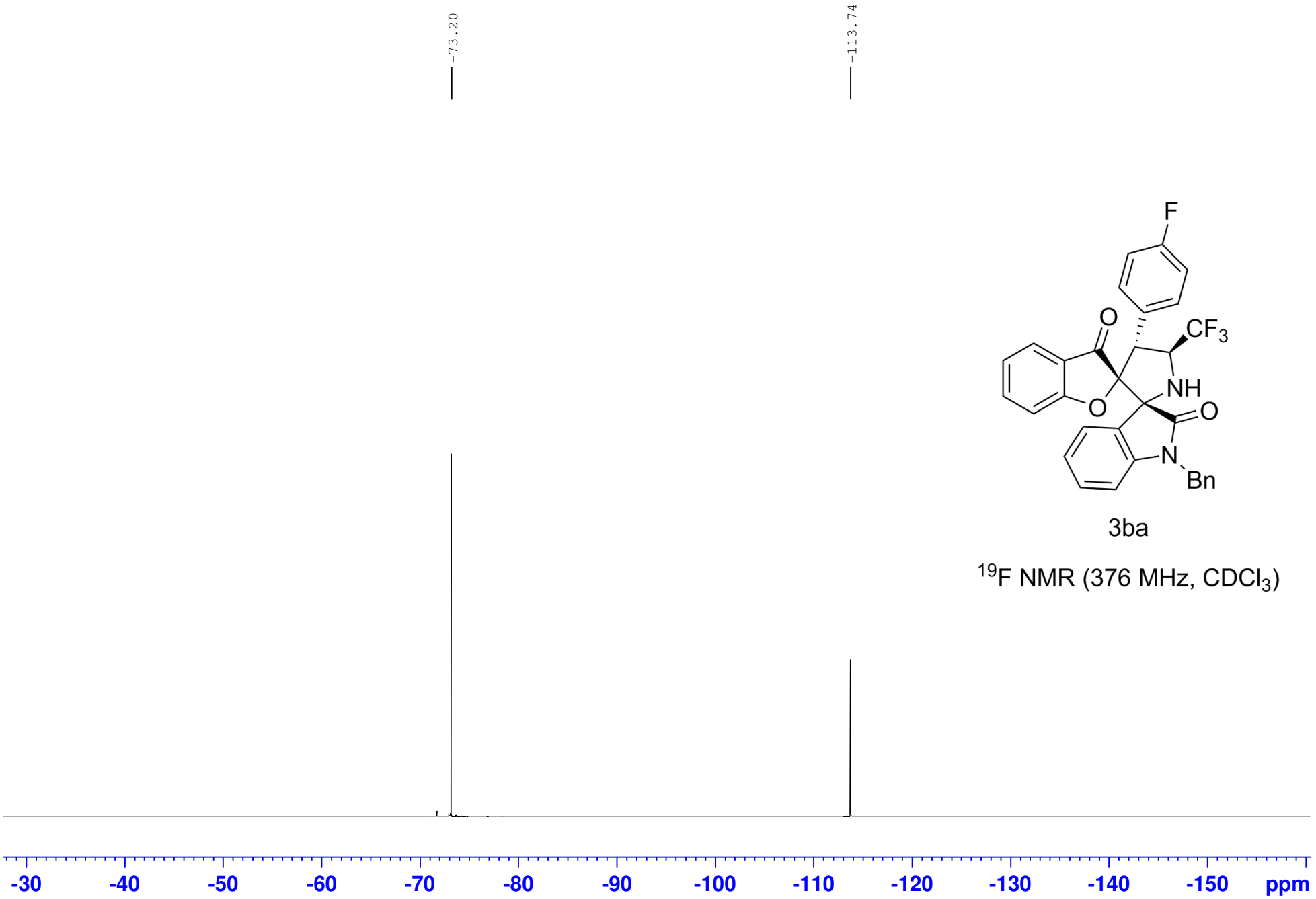


3aj

^{19}F NMR (282 MHz, $CDCl_3$)

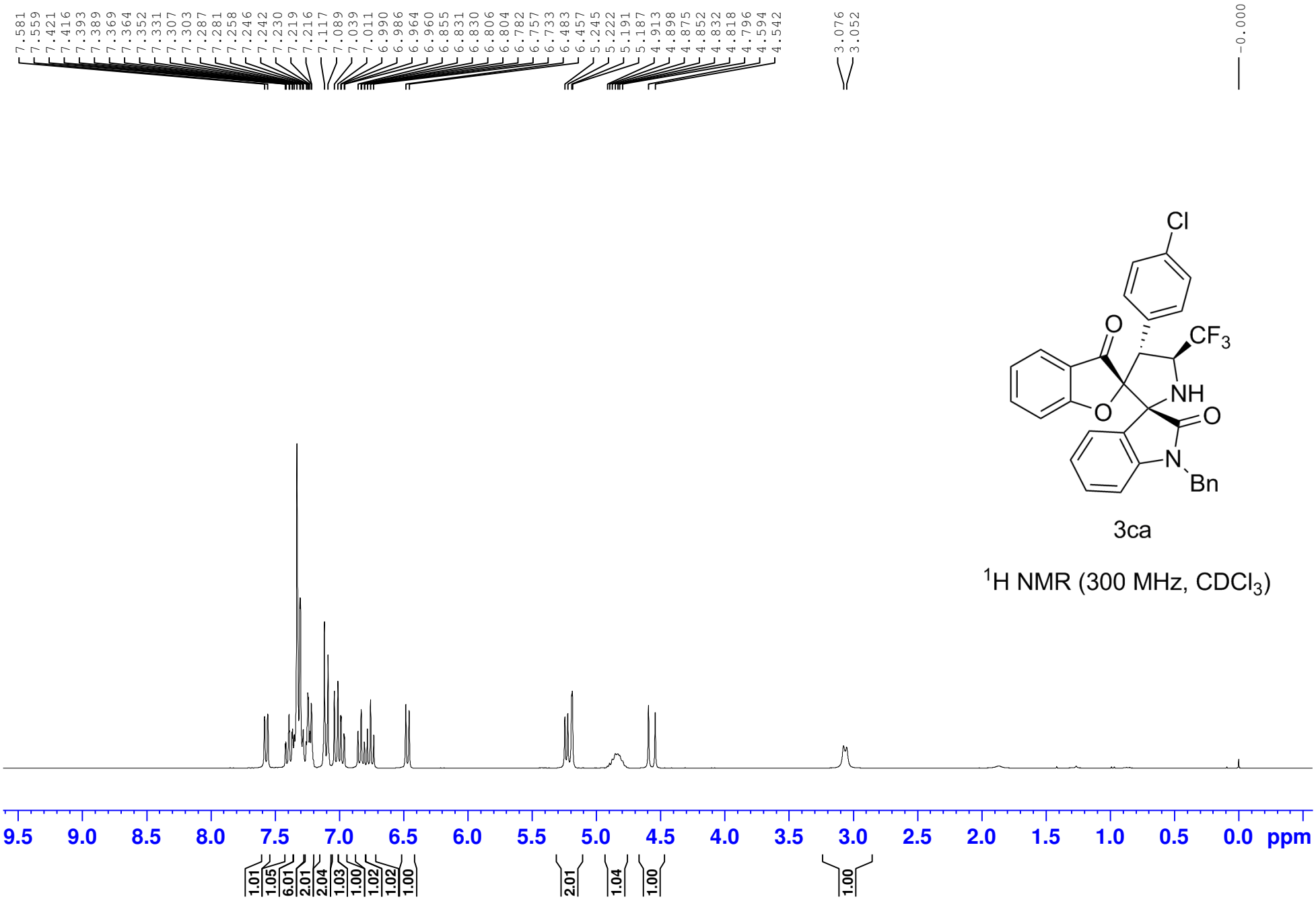

7.560
7.535
7.436
7.411
7.388
7.370
7.360
7.340
7.333
7.319
7.293
7.255
7.243
7.232
7.036
7.009
6.988
6.864
6.837
6.810
6.786
6.761
6.497
6.471
5.252
5.218
5.200
5.182
4.907
4.874
4.853
4.829
4.818
4.804
4.795
4.769
4.746
4.591
4.539
3.011
2.983
-0.000
F
O
CF3
NH
O
O
O
N
Bn
3ba
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
7.09
2.03
2.03
4.01
1.02
2.00
1.04
1.00
1.01


194.24
174.08
170.08
163.66
161.20
143.53
138.60
135.39
130.94
130.86
130.62
129.78
128.78
127.65
127.58
127.28
127.25
127.00
125.90
124.18
123.56
122.57
122.40
121.68
121.43
115.47
115.25
112.35
109.49
97.58
72.10
63.05
62.75
62.44
62.13
50.27
44.40
129.7836
127.0009
124.1949
121.4348
130
128
126
124
122
[ppm]
F
O
CF3
NH
O
O
O
N
Bn
3ba
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



3ba

^{19}F NMR (376 MHz, $CDCl_3$)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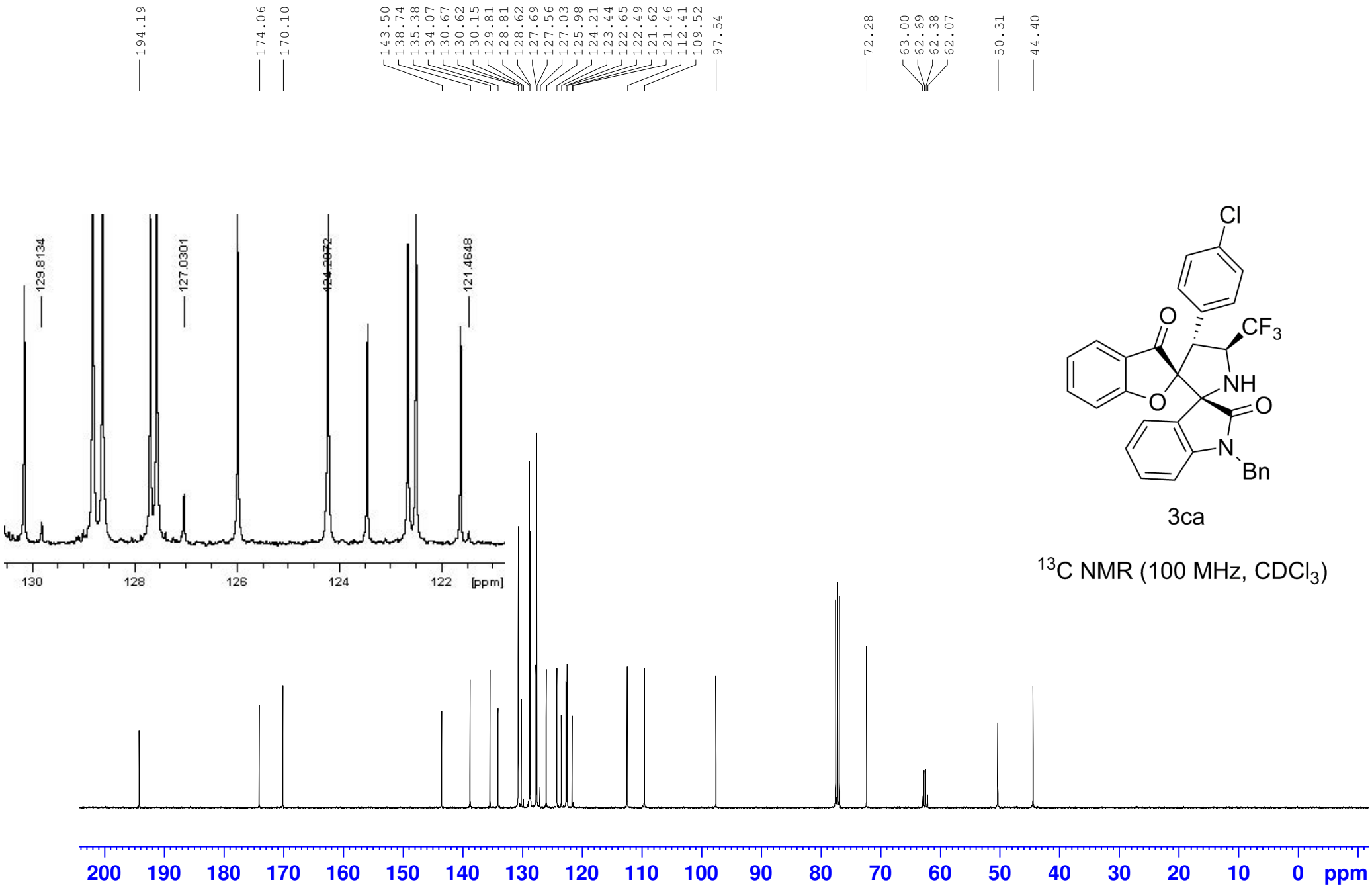
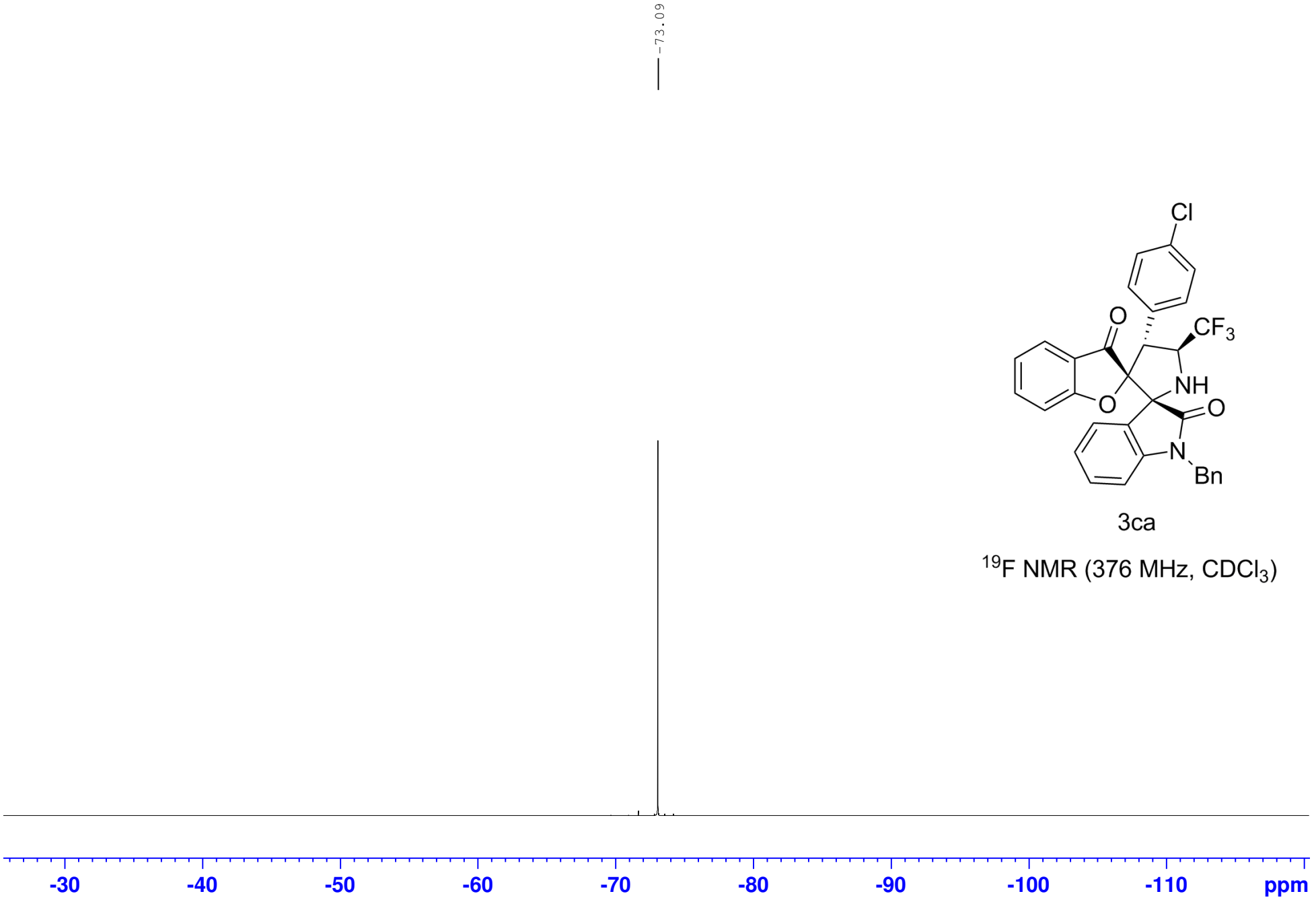
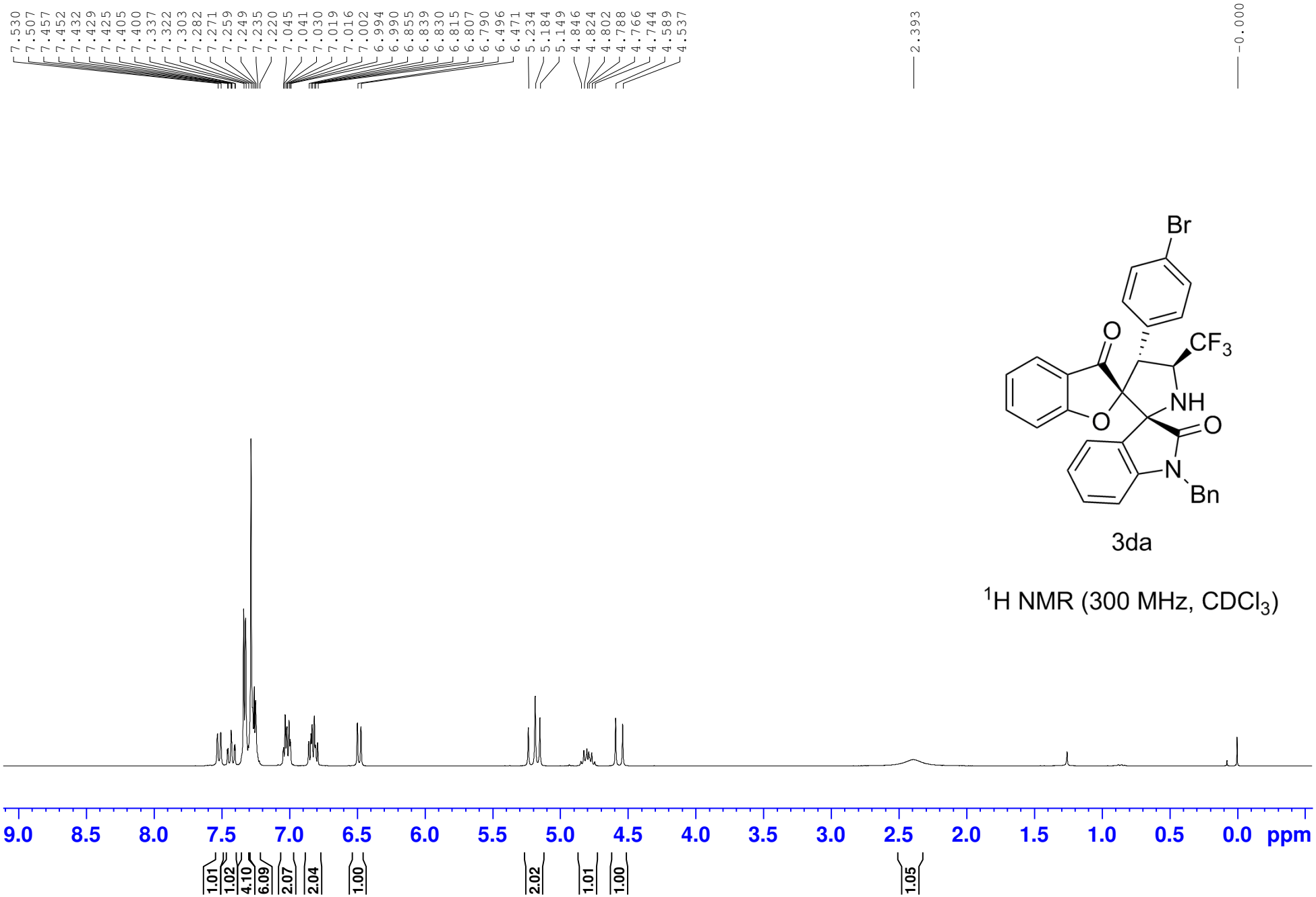
7.559
7.421
7.416
7.393
7.389
7.369
7.364
7.352
7.331
7.307
7.303
7.287
7.281
7.258
7.246
7.242
7.230
7.219
7.216
7.117
7.089
7.039
7.011
6.990
6.986
6.964
6.960
6.855
6.831
6.830
6.806
6.804
6.782
6.757
6.733
6.483
6.457
5.245
5.222
5.191
5.187
4.913
4.898
4.875
4.852
4.832
4.818
4.796
4.594
4.542
3.076
3.052
-0.000
Cl
O
CF3
NH
O
O
N
Bn
3ca
1H NMR (300 MHz, CDCl3)
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
ppm
1.01
1.05
6.01
2.01
2.04
1.03
1.00
1.02
1.02
1.00
2.01
1.04
1.00
1.00

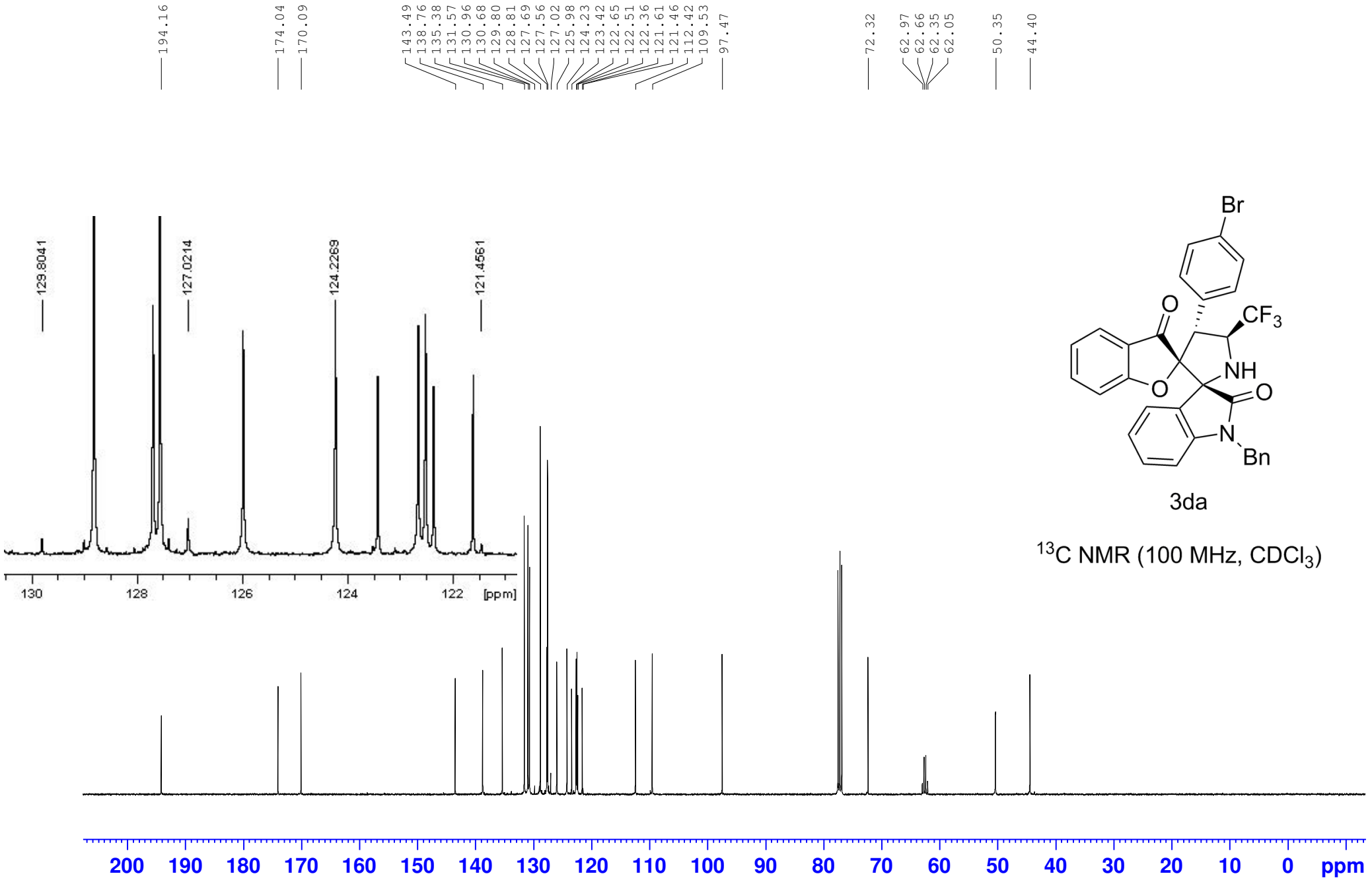

194.19
174.06
170.10
143.50
138.74
135.38
134.07
130.67
130.62
130.15
129.81
128.81
128.62
127.69
127.56
127.03
125.98
124.21
123.44
122.65
122.49
121.62
121.46
112.41
109.52
97.54
72.28
63.00
62.69
62.38
62.07
50.31
44.40
129.8134
127.0301
124.2972
121.4648
130
128
126
124
122
[ppm]
Cl
O
CF3
NH
O
O
O
N
Bn
3ca
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

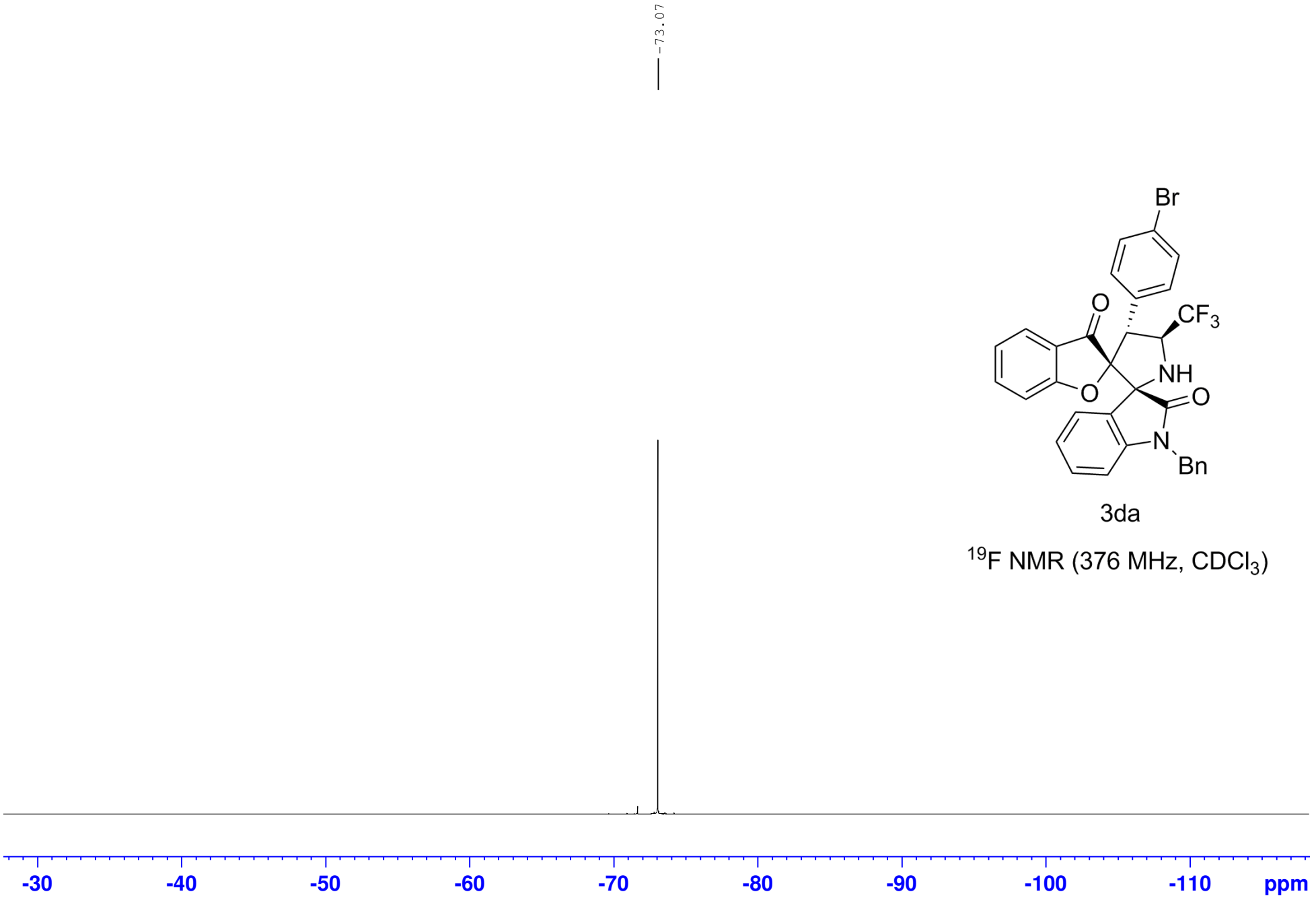


3ca

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

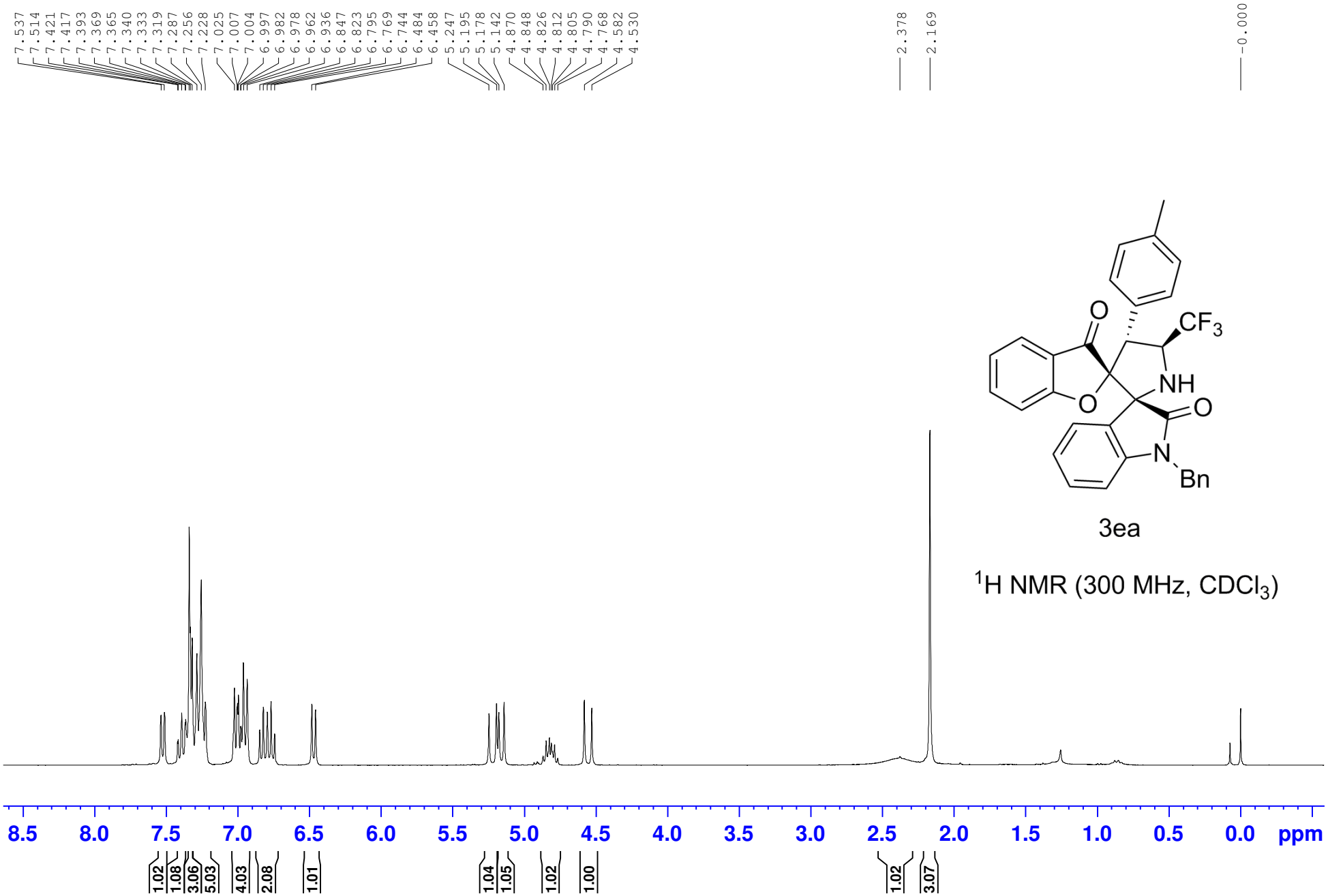

7.530
7.507
7.457
7.452
7.432
7.429
7.425
7.405
7.400
7.337
7.322
7.303
7.282
7.271
7.259
7.249
7.235
7.220
7.045
7.041
7.030
7.019
7.016
7.002
6.994
6.990
6.855
6.839
6.830
6.815
6.807
6.790
6.496
6.471
5.234
5.184
5.149
4.846
4.824
4.802
4.788
4.766
4.744
4.589
4.537
2.393
-0.000
Br
O
CF3
NH
O
O
O
N
Bn
3da
1H NMR (300 MHz, CDCl3)
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
ppm
1.01
1.02
4.10
6.09
2.07
2.04
1.00
2.02
1.01
1.00
1.05

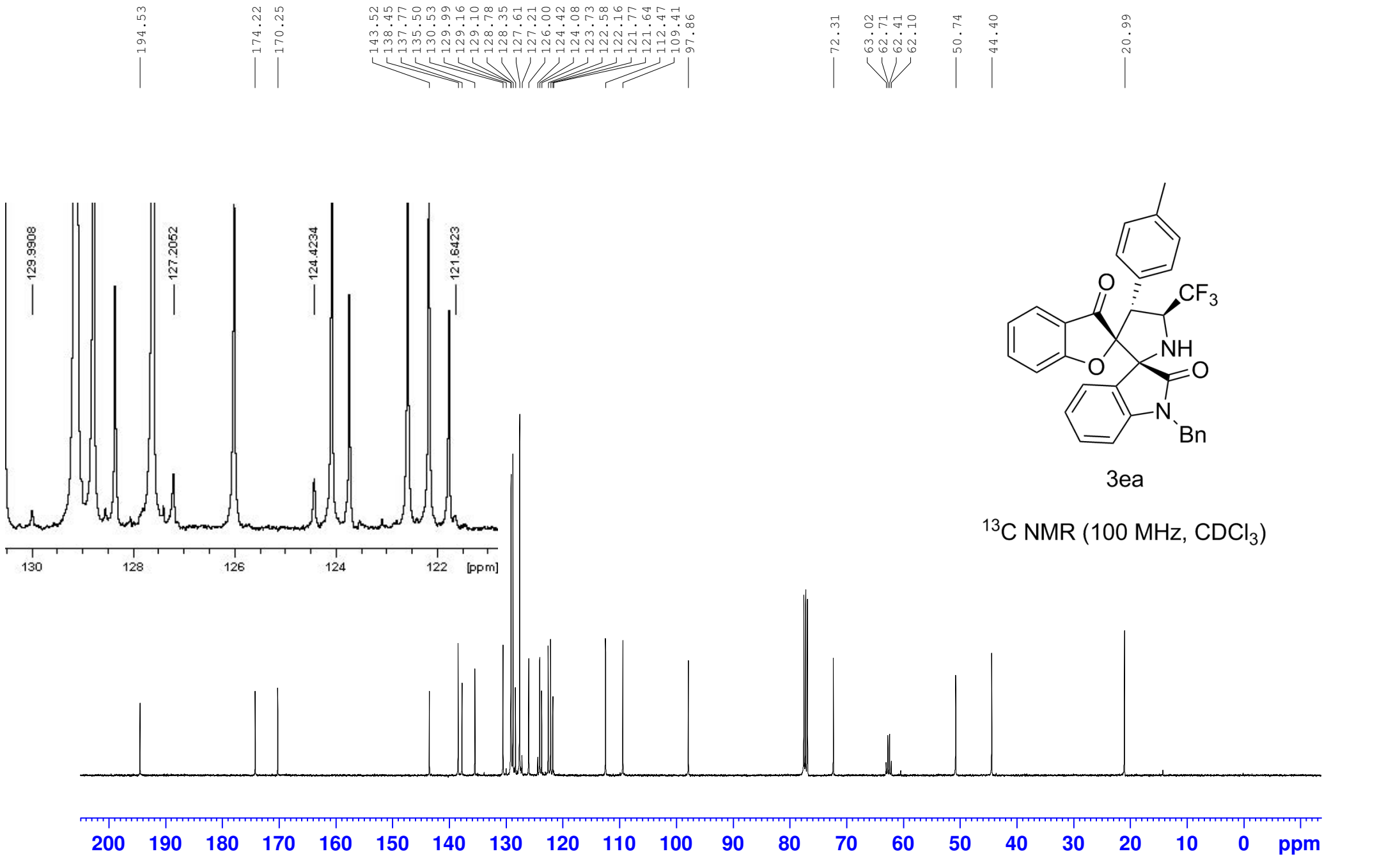

194.16
174.04
170.09
143.49
138.76
135.38
131.57
130.96
130.68
129.80
128.81
127.69
127.56
127.02
125.98
124.23
123.42
122.65
122.51
122.36
121.61
121.46
112.42
109.53
97.47
72.32
62.97
62.66
62.35
62.05
50.35
44.40
129.8041
127.0214
124.2269
121.4561
130
128
126
124
122
[ppm]
Br
O
CF3
NH
O
O
N
Bn
3da
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

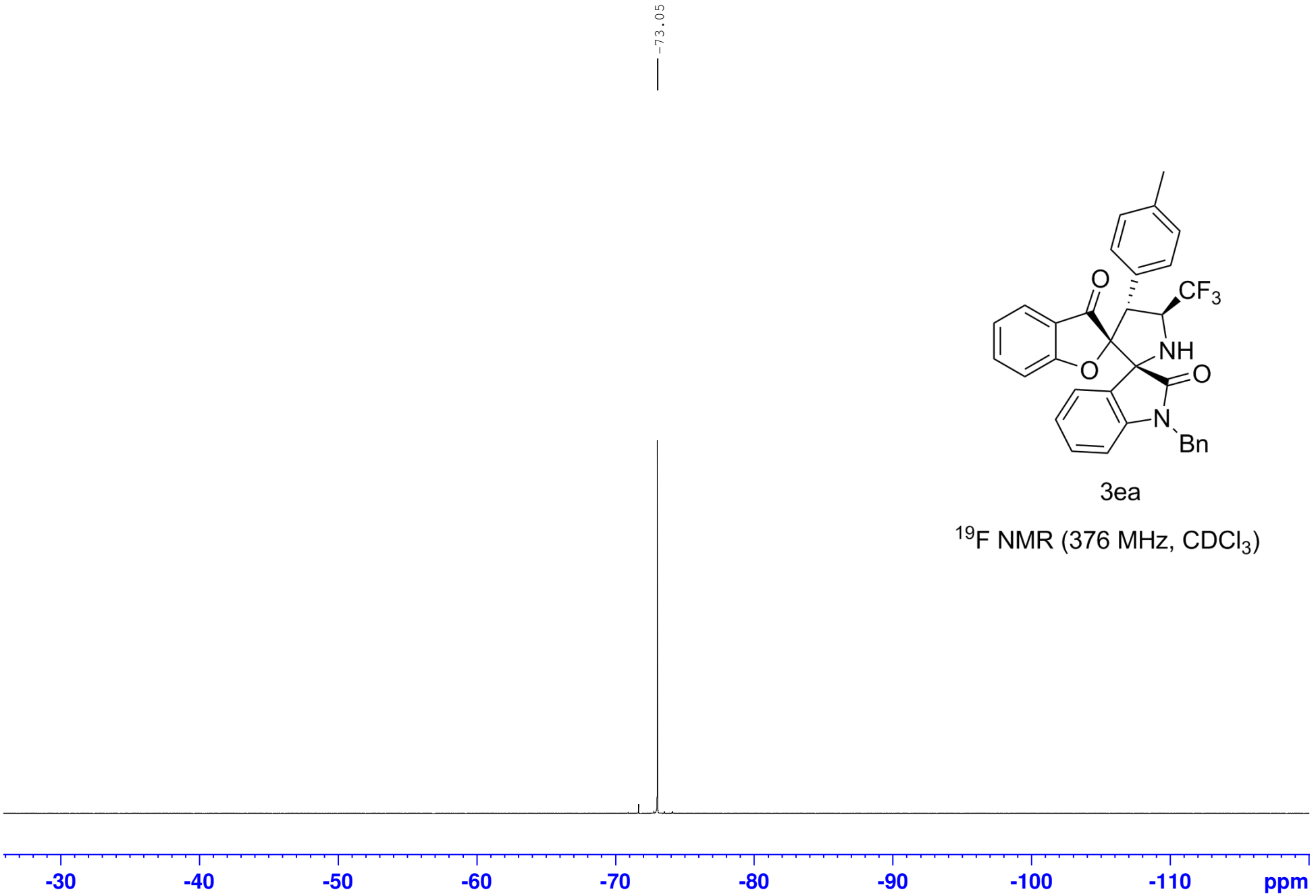


3da

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

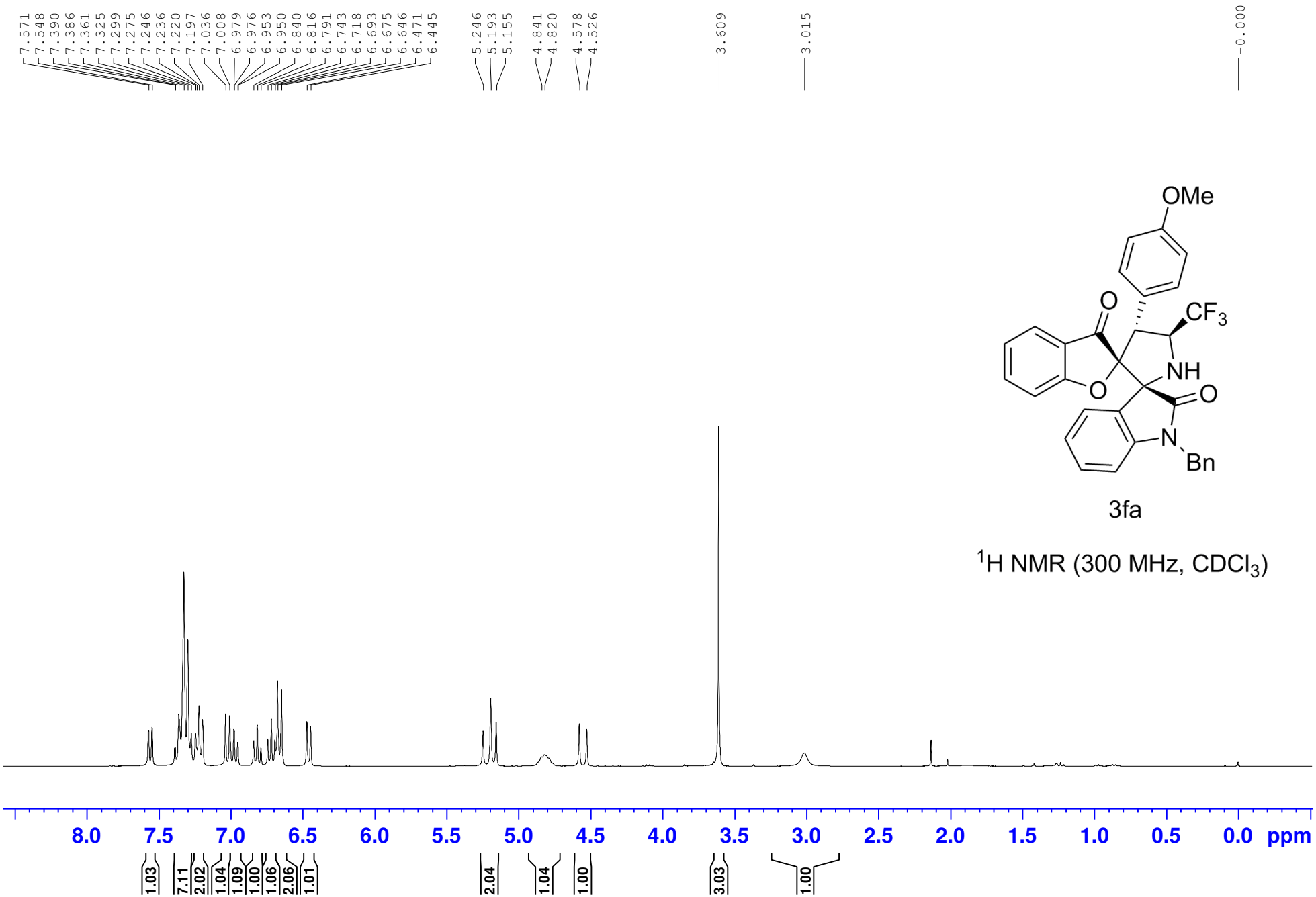

7.537
7.514
7.421
7.417
7.393
7.369
7.365
7.340
7.333
7.319
7.287
7.256
7.228
7.025
7.007
7.004
6.997
6.982
6.978
6.962
6.936
6.847
6.823
6.795
6.769
6.744
6.484
6.458
5.247
5.195
5.178
5.142
4.870
4.848
4.826
4.812
4.805
4.790
4.768
4.582
4.530
2.378
2.169
-0.000
O
CF3
NH
O
O
O
N
Bn
3ea
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
1.08
3.06
5.03
4.03
2.08
1.01
1.04
1.05
1.02
1.00
1.02
3.07

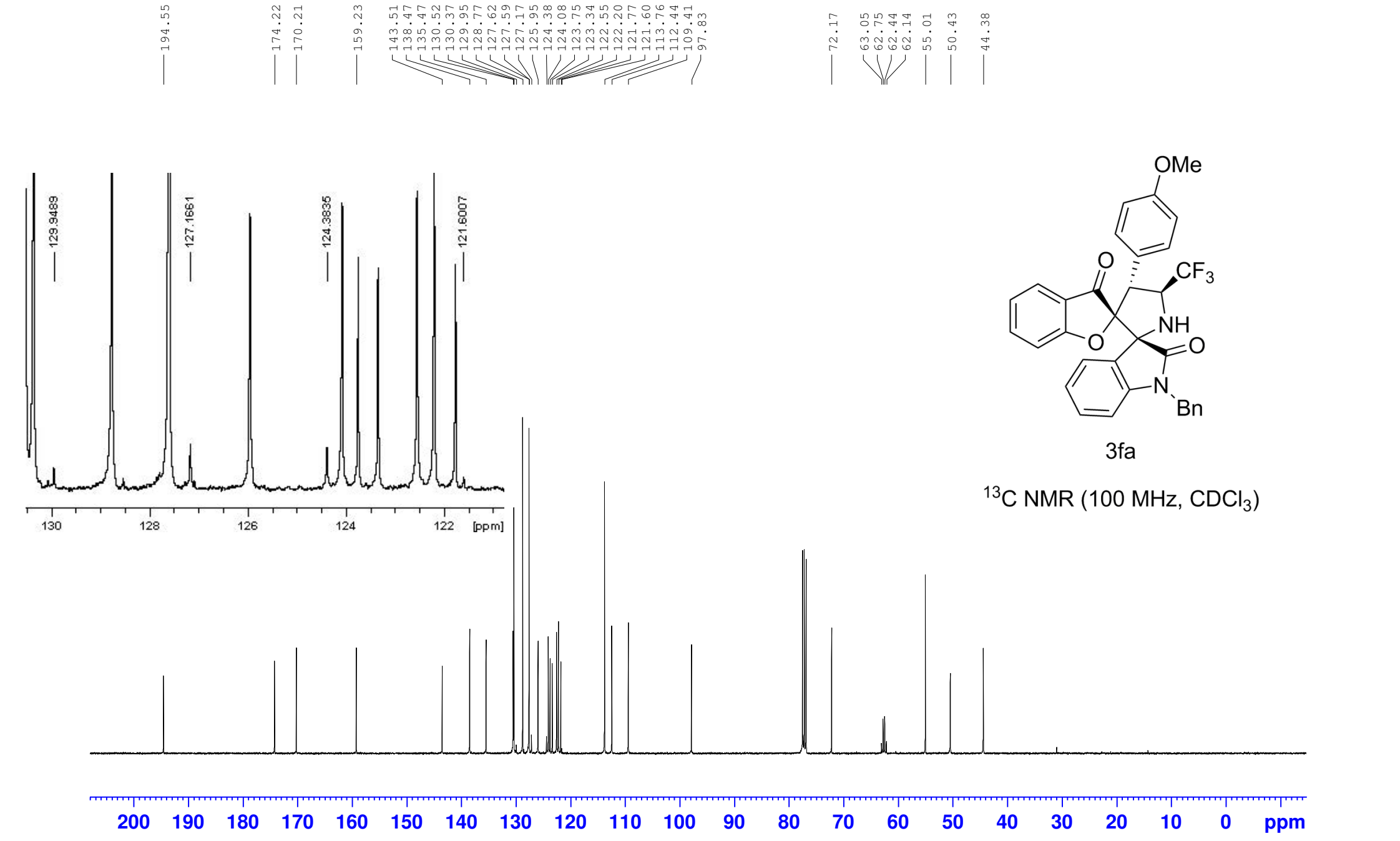

194.53
174.22
170.25
143.52
138.45
137.77
135.50
130.53
129.99
129.16
129.10
128.78
128.35
127.61
127.21
126.00
124.42
124.08
123.73
122.58
122.16
121.77
121.64
112.47
109.41
97.86
72.31
63.02
62.71
62.41
62.10
50.74
44.40
20.99
129.9908
127.2052
124.4234
121.6423
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
N
Bn
3ea
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

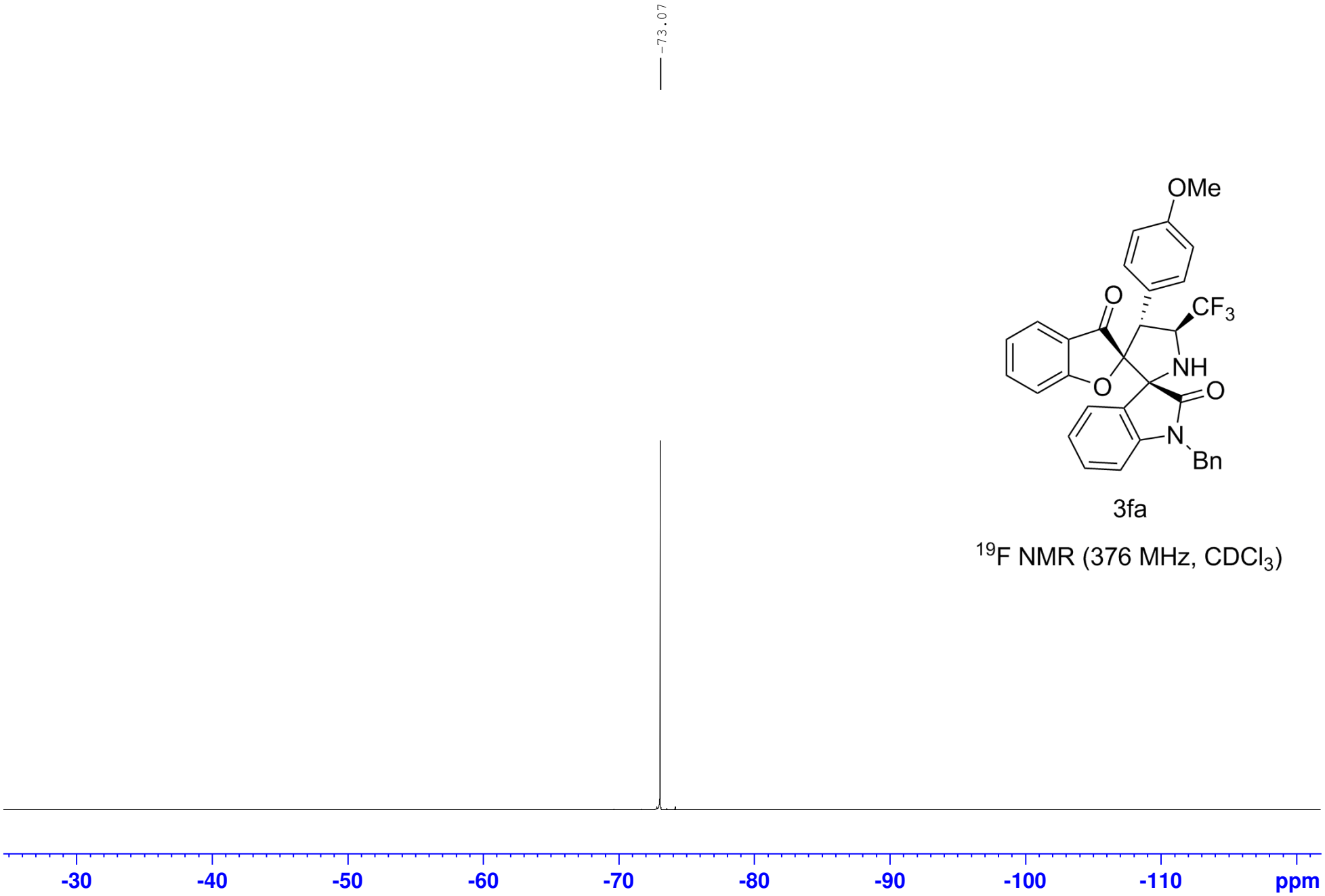


3ea

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

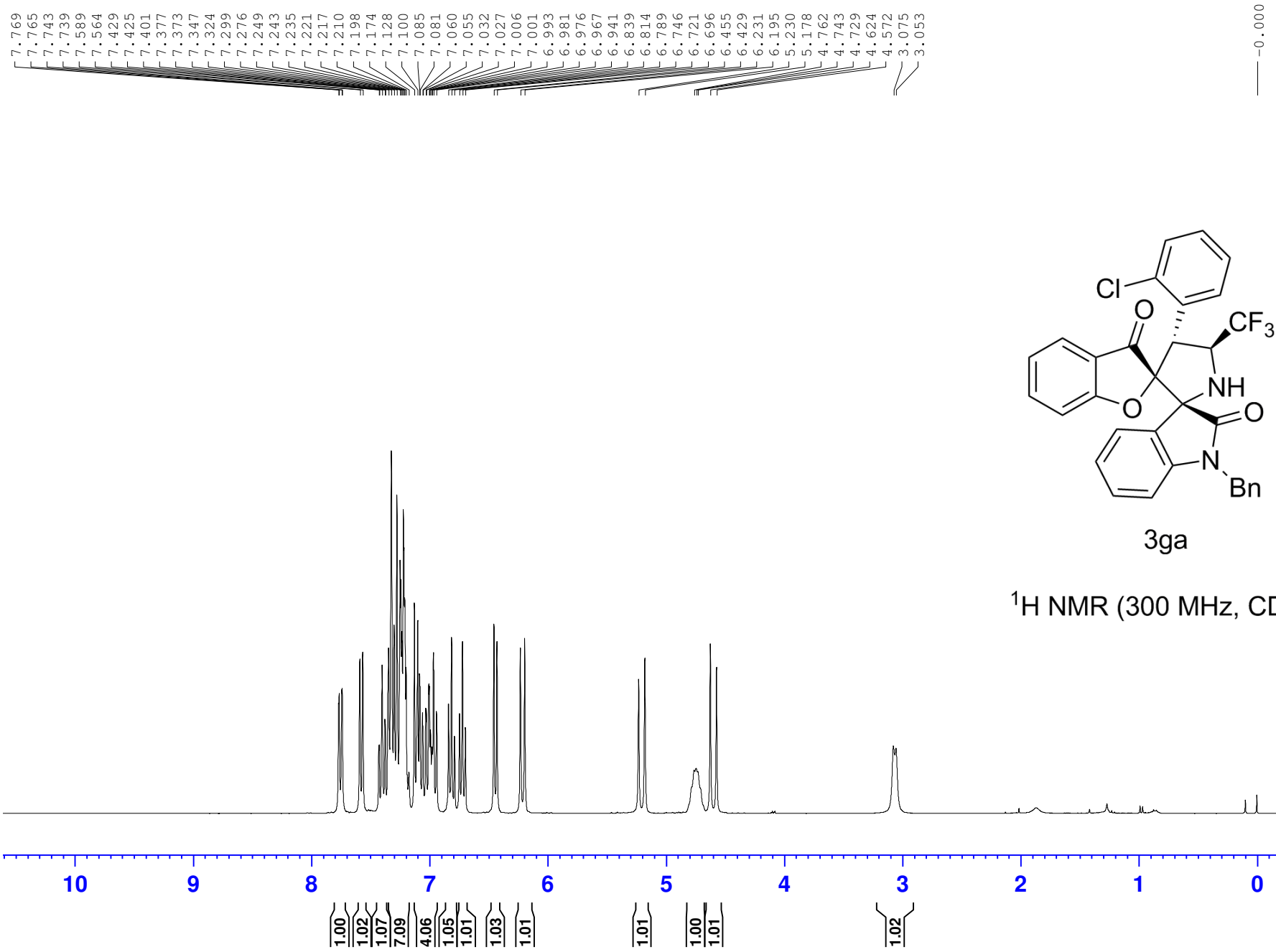

7.571
7.548
7.390
7.386
7.361
7.325
7.299
7.275
7.246
7.236
7.220
7.197
7.036
7.008
6.979
6.976
6.953
6.950
6.840
6.816
6.791
6.743
6.718
6.693
6.675
6.646
6.471
6.445
5.246
5.193
5.155
4.841
4.820
4.578
4.526
3.609
3.015
-0.000
OMe
O
CF3
NH
O
O
N
Bn
3fa
1H NMR (300 MHz, CDCl3)
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
1.03
7.11
2.02
1.04
1.09
1.00
1.06
2.06
1.01
2.04
1.04
1.00
3.03
1.00


194.55
174.22
170.21
159.23
143.51
138.47
135.47
130.52
130.37
129.95
128.77
127.62
127.59
127.17
125.95
124.38
124.08
123.75
123.34
122.55
122.20
121.77
121.60
113.76
112.44
109.41
97.83
72.17
63.05
62.75
62.44
62.14
55.01
50.43
44.38
129.9489
127.1661
124.3835
121.6007
130
128
126
124
122
[ppm]
OMe
O
CF3
NH
O
O
O
N
Bn
3fa
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



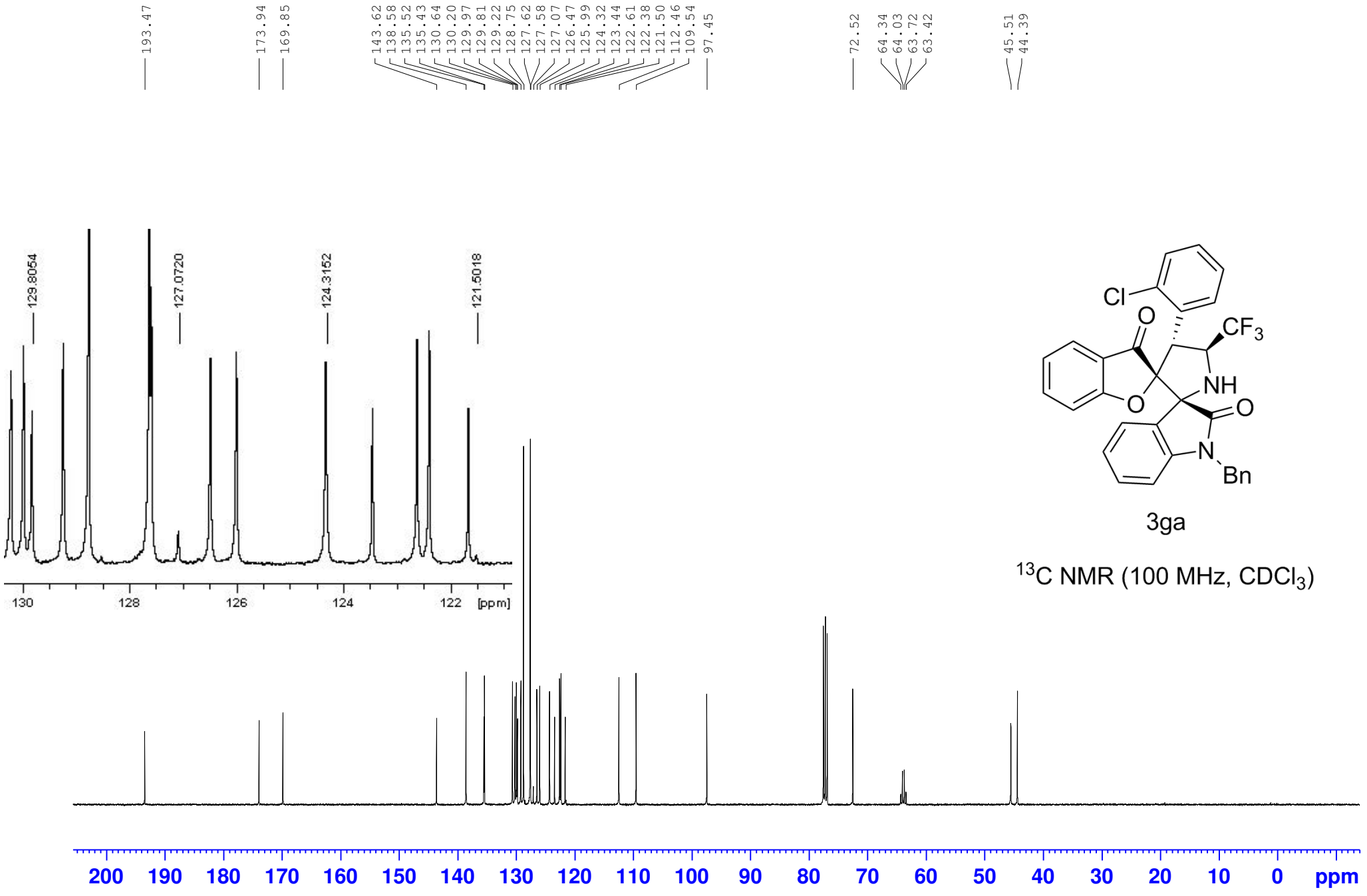
3fa

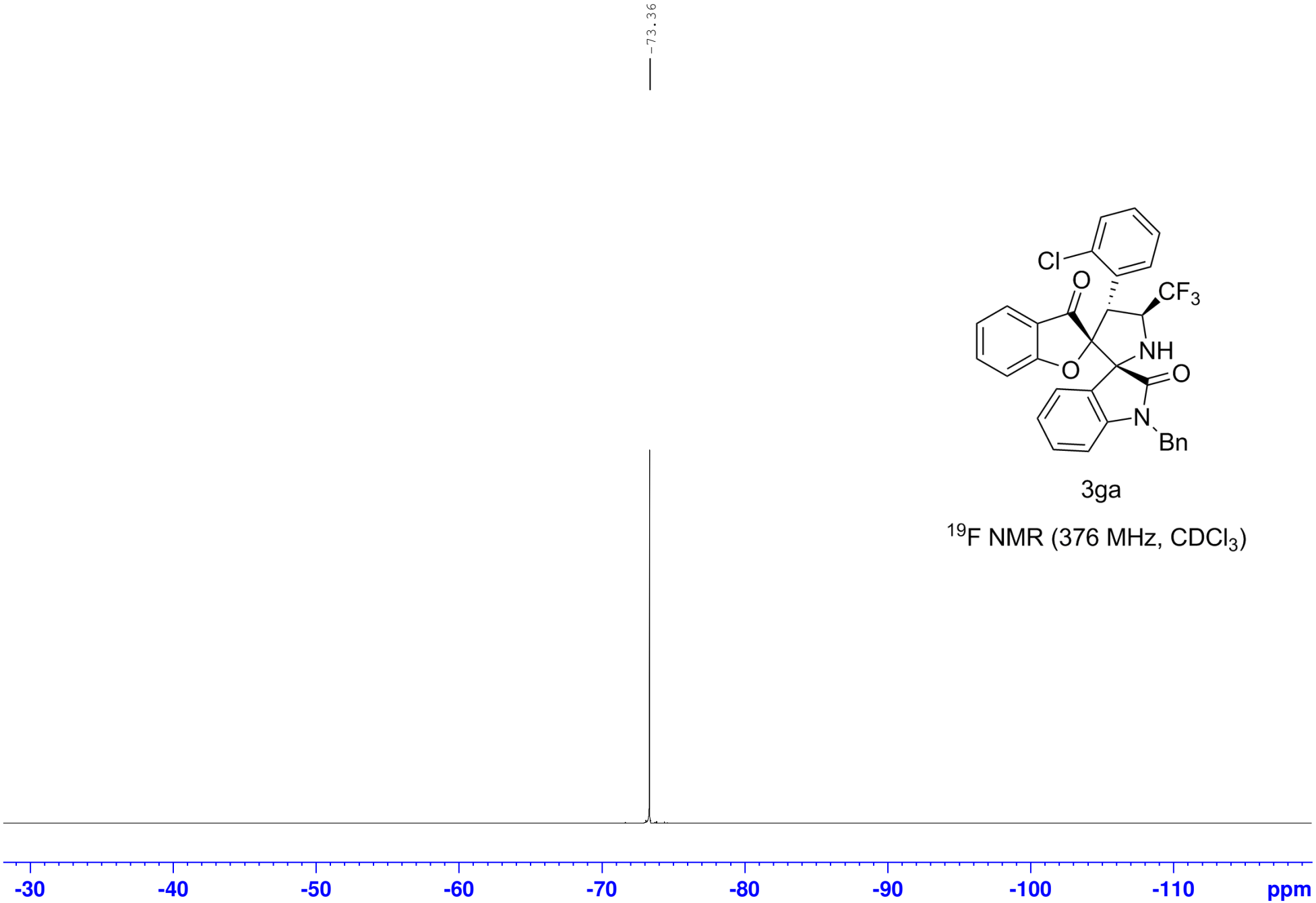
^{19}F NMR (376 MHz, $CDCl_3$)



3ga

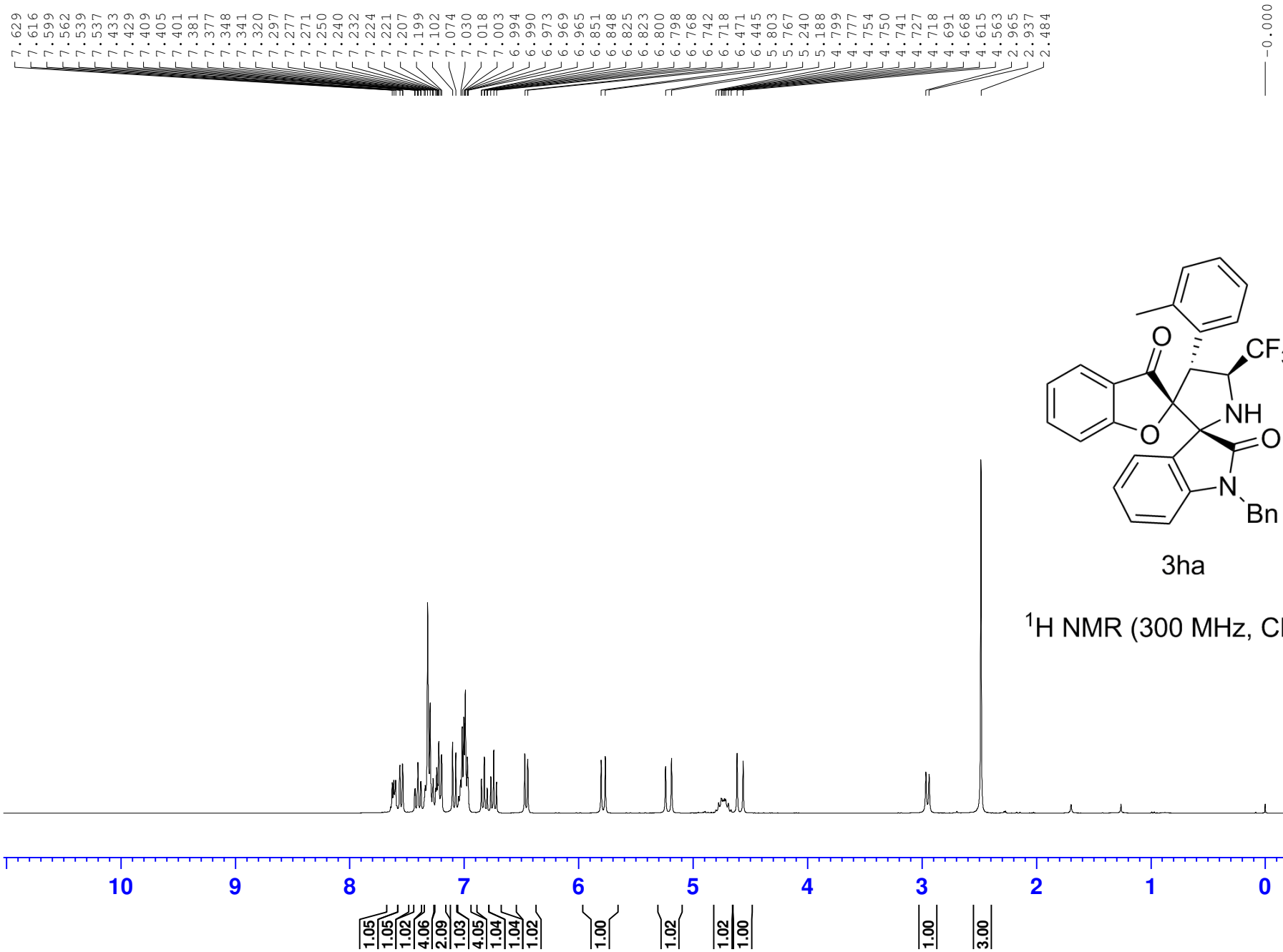
^{1}H NMR (300 MHz, $CDCl_3$)

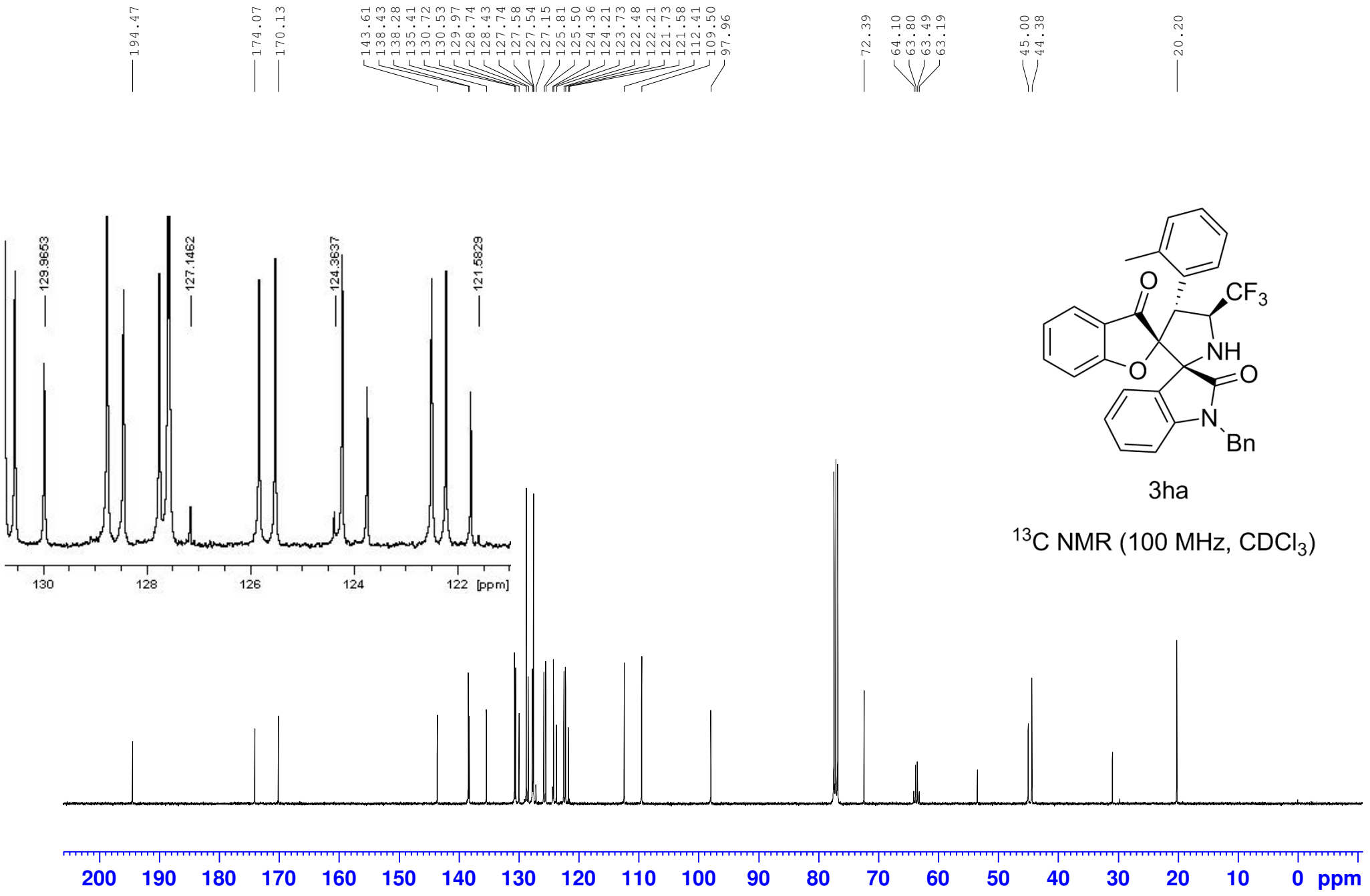

193.47
173.94
169.85
143.62
138.58
135.52
135.43
130.64
130.20
129.97
129.81
129.22
128.75
127.62
127.58
127.07
126.47
125.99
124.32
123.44
122.61
122.38
121.50
112.46
109.54
97.45
72.52
64.34
64.03
63.72
63.42
45.51
44.39
129.8054
127.0720
124.3152
121.5018
130
128
126
124
122
[ppm]
Cl
O
CF3
NH
O
O
O
N
Bn
3ga
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

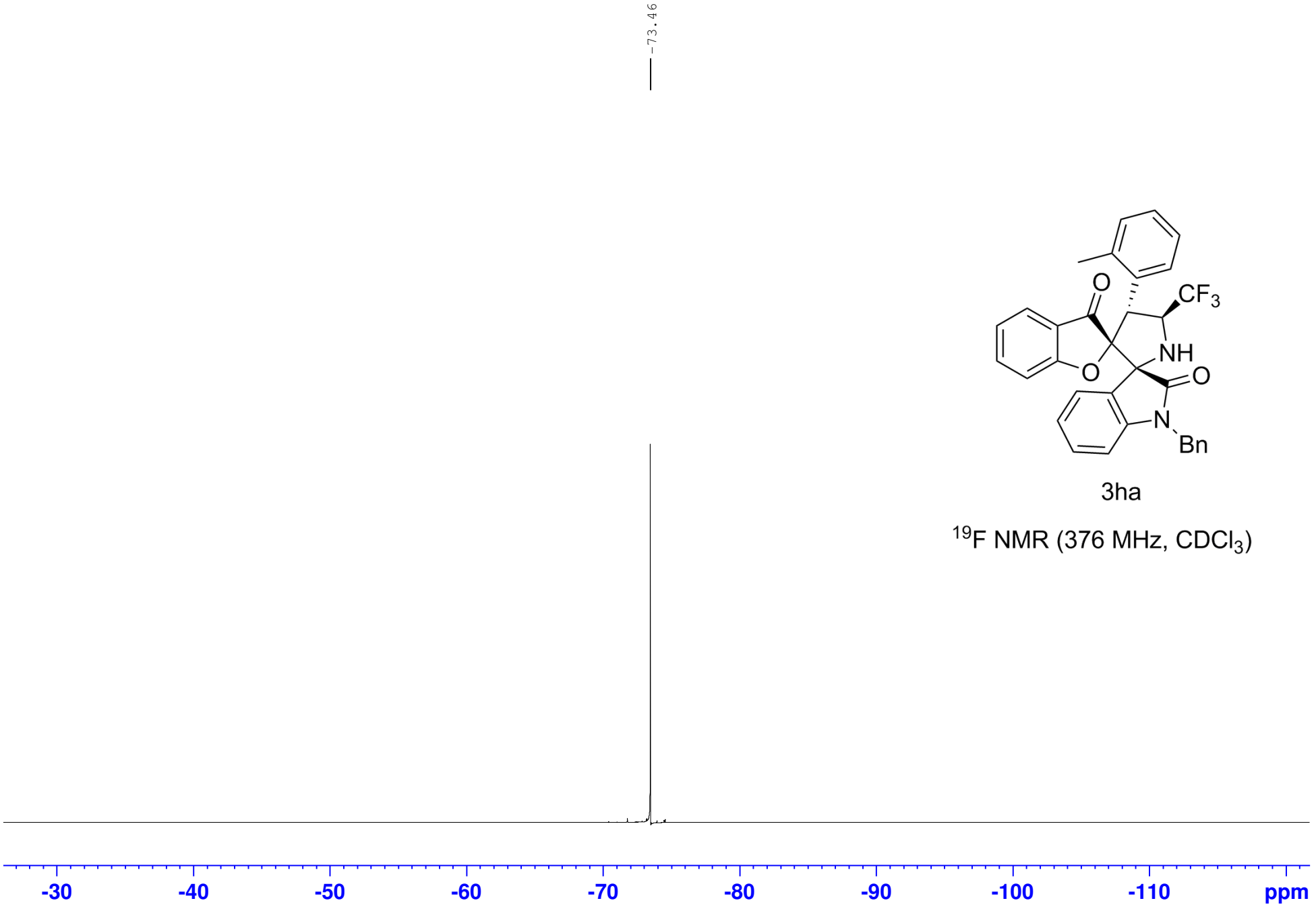


3ga

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

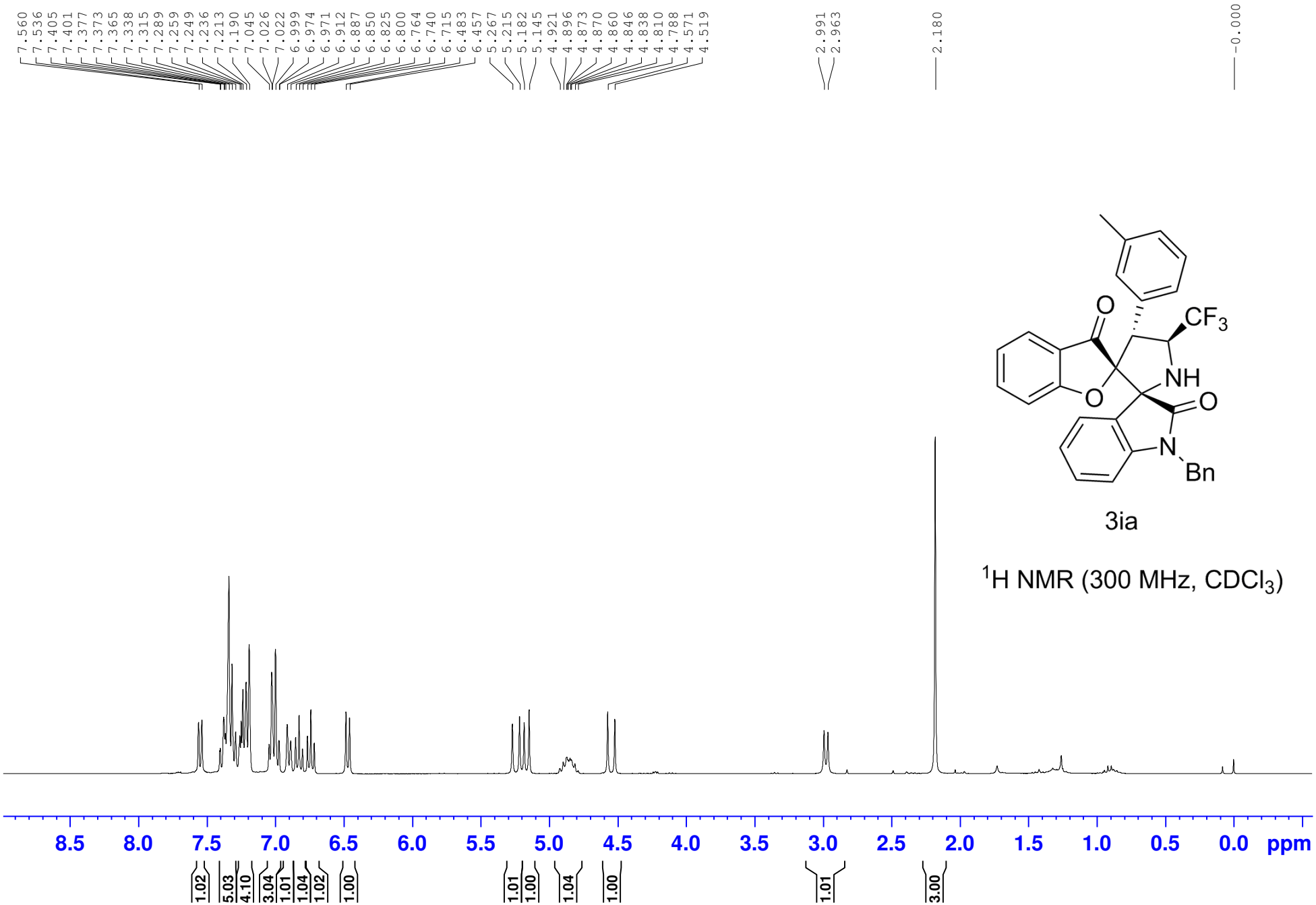

7.629
7.616
7.599
7.562
7.539
7.537
7.433
7.429
7.409
7.405
7.401
7.381
7.377
7.348
7.341
7.320
7.297
7.277
7.271
7.250
7.240
7.232
7.224
7.221
7.207
7.199
7.102
7.074
7.030
7.018
7.003
6.994
6.990
6.973
6.969
6.965
6.851
6.848
6.825
6.823
6.800
6.798
6.768
6.742
6.718
6.471
6.445
5.803
5.767
5.240
5.188
4.799
4.777
4.754
4.750
4.741
4.727
4.718
4.691
4.668
4.615
4.563
2.965
2.937
2.484
-0.000
O
CF3
NH
O
O
N
Bn
3ha
1H NMR (300 MHz, CDCl3)
10
9
8
7
6
5
4
3
2
1
0
ppm
1.05
1.05
1.02
4.06
2.09
1.03
4.05
1.04
1.04
1.02
1.00
1.02
1.02
1.00
1.00
3.00

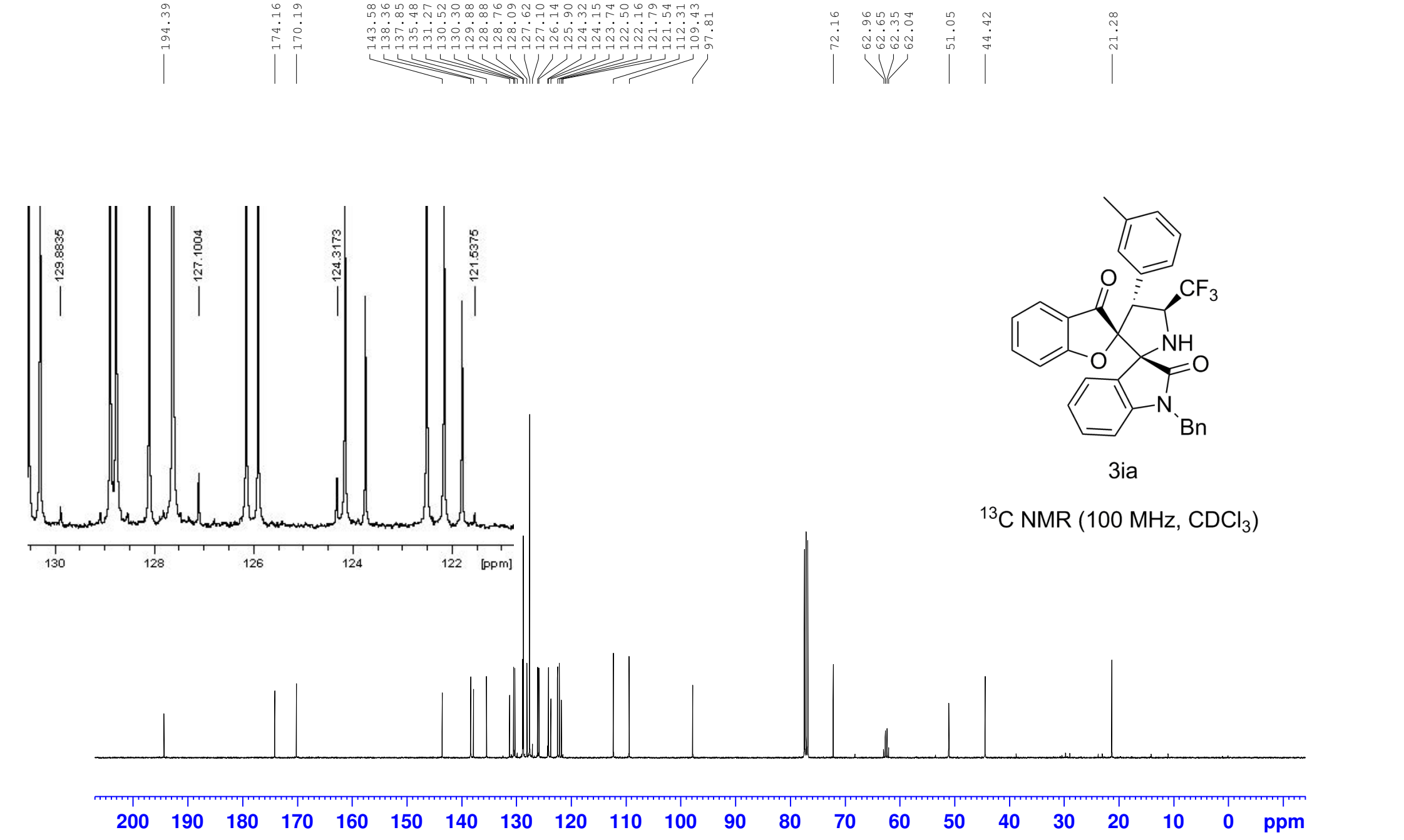

194.47
174.07
170.13
143.61
138.43
138.28
135.41
130.72
130.53
129.97
128.74
128.43
127.74
127.58
127.54
127.15
125.81
125.50
124.36
124.21
123.73
122.48
122.21
121.73
121.58
112.41
109.50
97.96
72.39
64.10
63.80
63.49
63.19
45.00
44.38
20.20
129.9653
127.1462
124.3637
121.5829
130
128
126
124
122 [ppm]
O
CF3
NH
O
O
O
N
Bn
3ha
13C NMR (100 MHz, CDCl3)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm

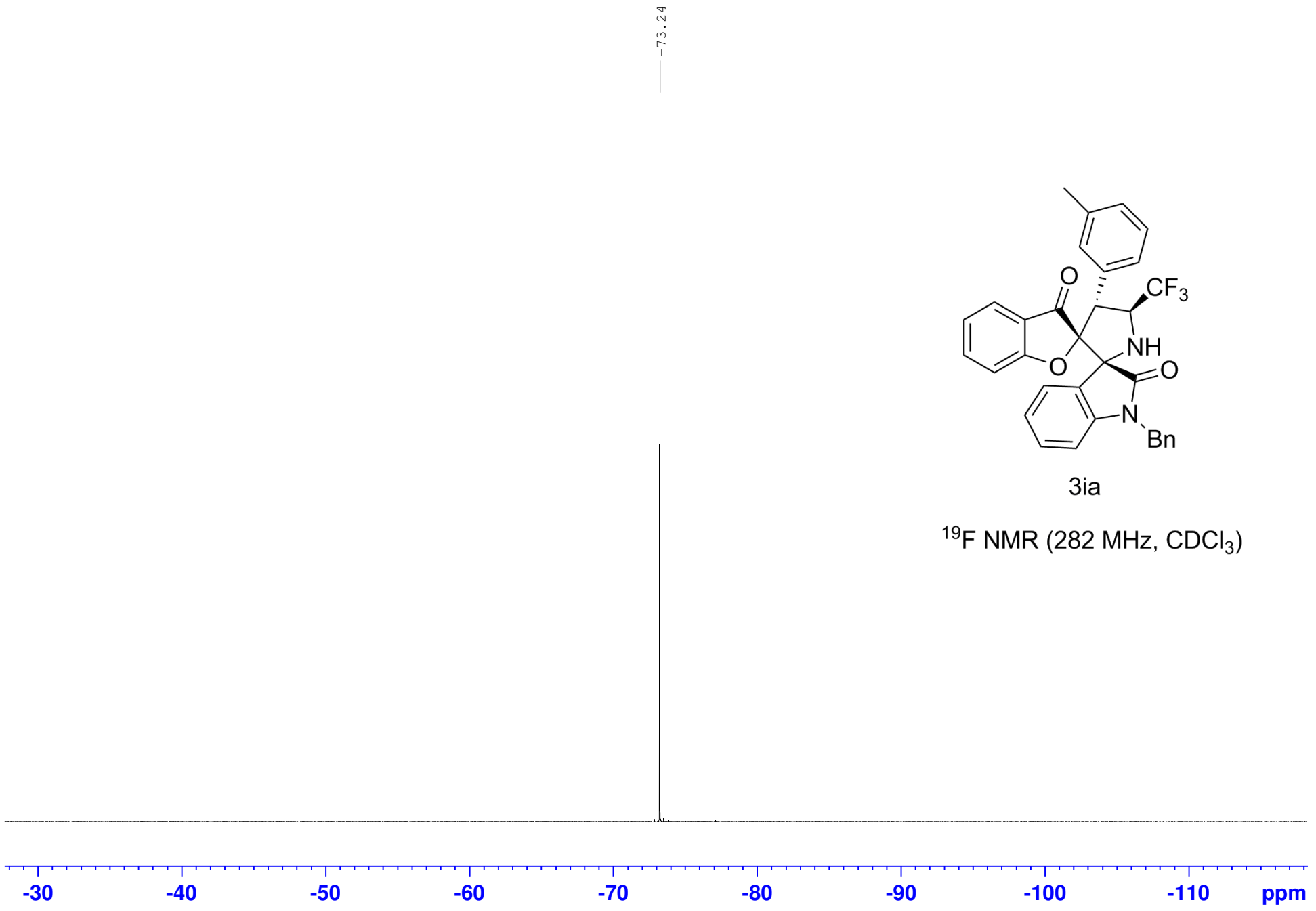


3ha

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

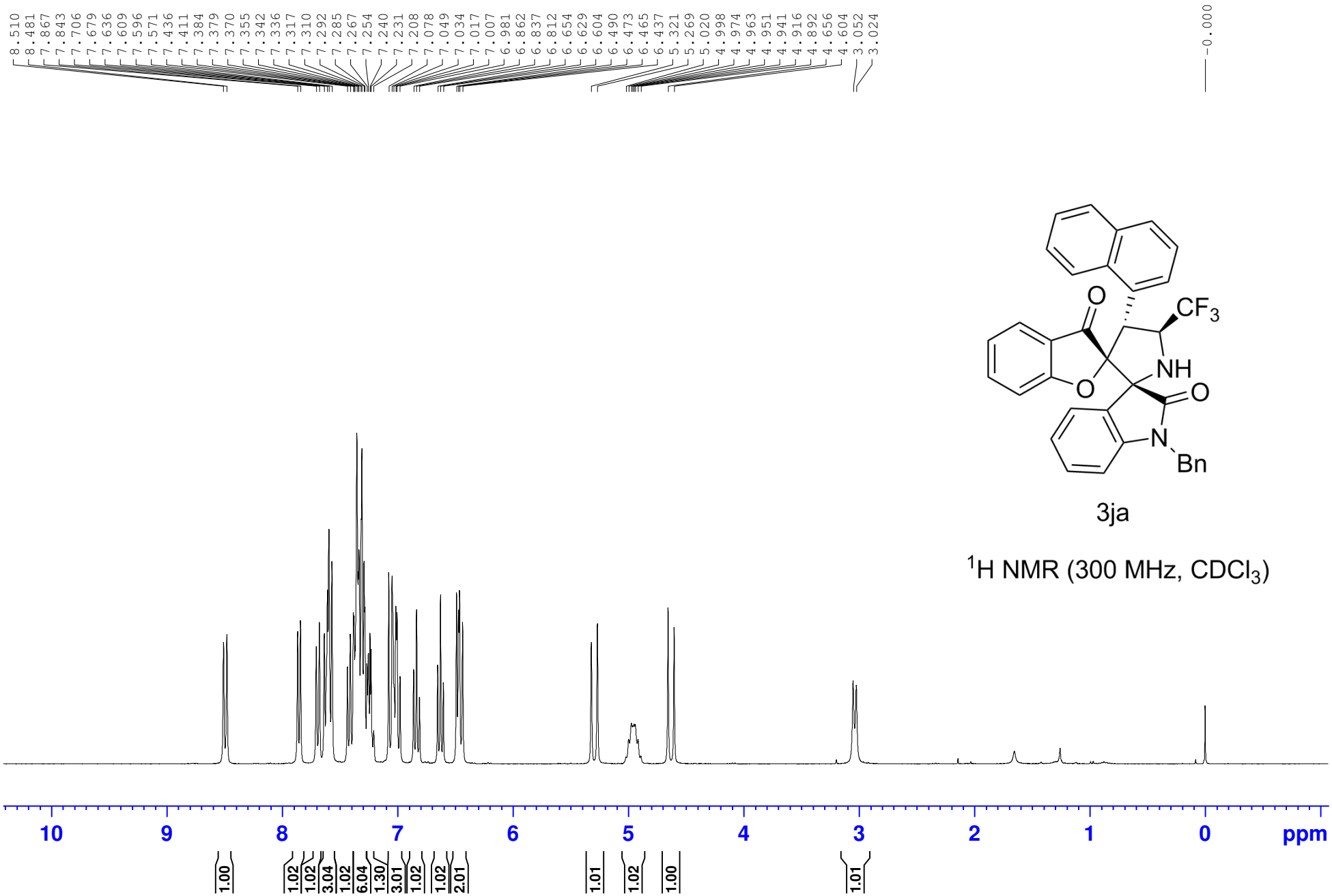

7.560
7.536
7.405
7.401
7.377
7.373
7.365
7.338
7.315
7.289
7.259
7.249
7.236
7.213
7.190
7.045
7.026
7.022
6.999
6.974
6.971
6.912
6.887
6.850
6.825
6.800
6.764
6.740
6.715
6.483
6.457
5.267
5.215
5.182
5.145
4.921
4.896
4.873
4.870
4.860
4.846
4.838
4.810
4.788
4.571
4.519
2.991
2.963
2.180
-0.000
O
CF3
NH
O
O
O
N
Bn
3ia
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
5.03
4.10
3.04
1.01
1.04
1.02
1.00
1.01
1.00
1.04
1.00
1.01
3.00

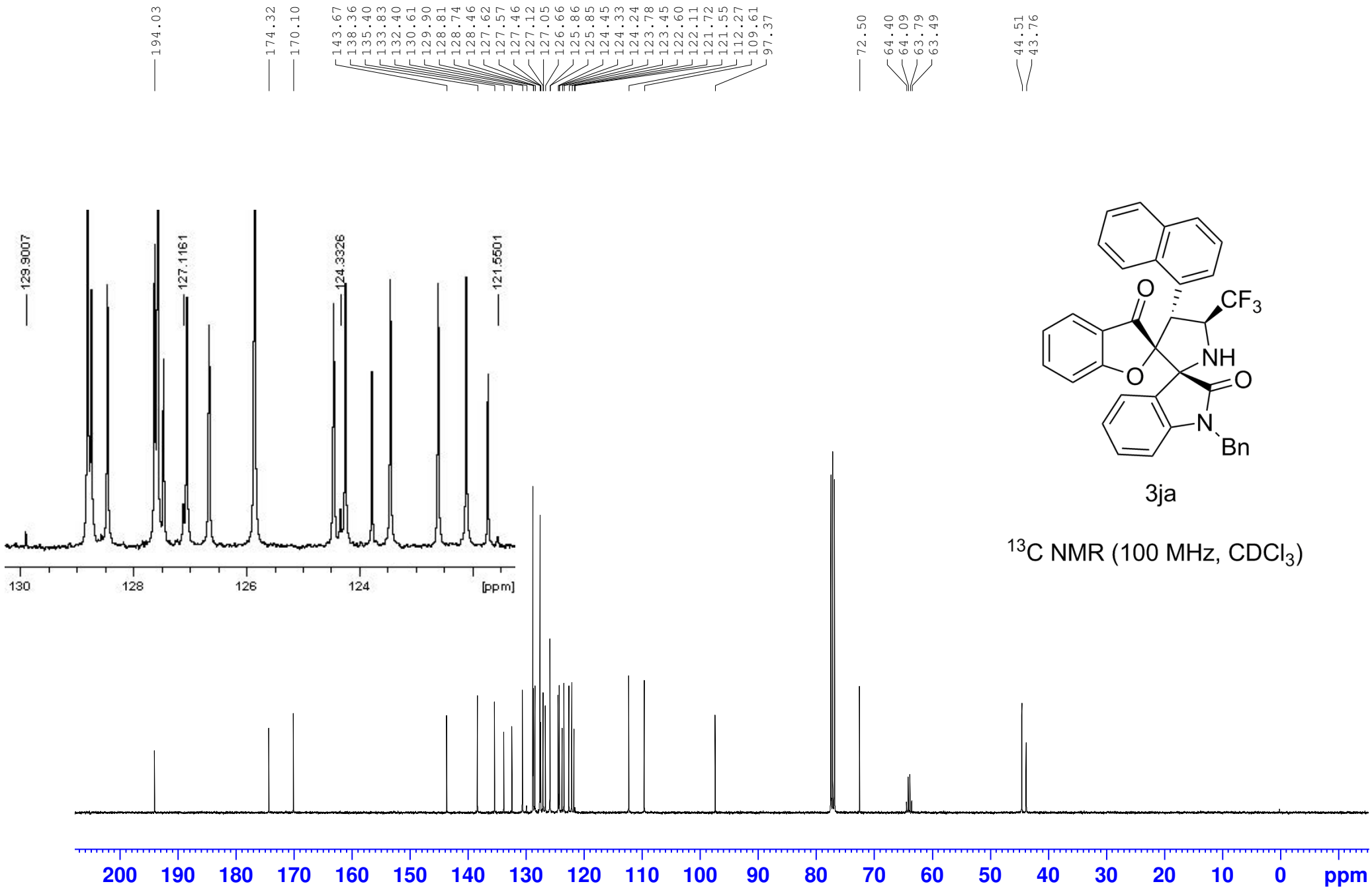

194.39
174.16
170.19
143.58
138.36
137.85
135.48
131.27
130.52
130.30
129.88
128.88
128.76
128.09
127.62
127.10
126.14
125.90
124.32
124.15
123.74
122.50
122.16
121.79
121.54
112.31
109.43
97.81
72.16
62.96
62.65
62.35
62.04
51.05
44.42
21.28
129.8835
127.1004
124.3173
121.5375
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
N
Bn
3ia
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

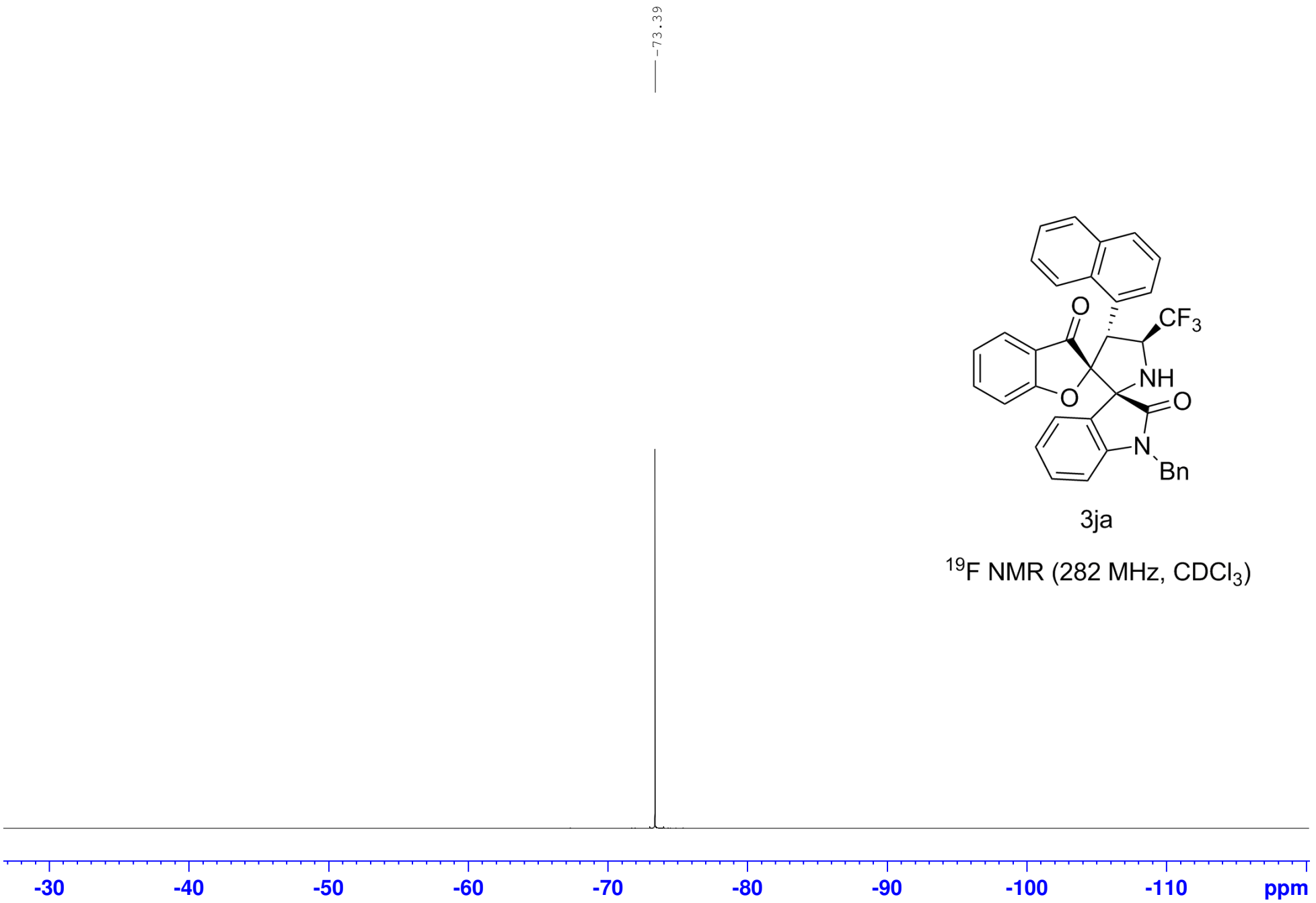


3ia

^{19}F NMR (282 MHz, $CDCl_3$)

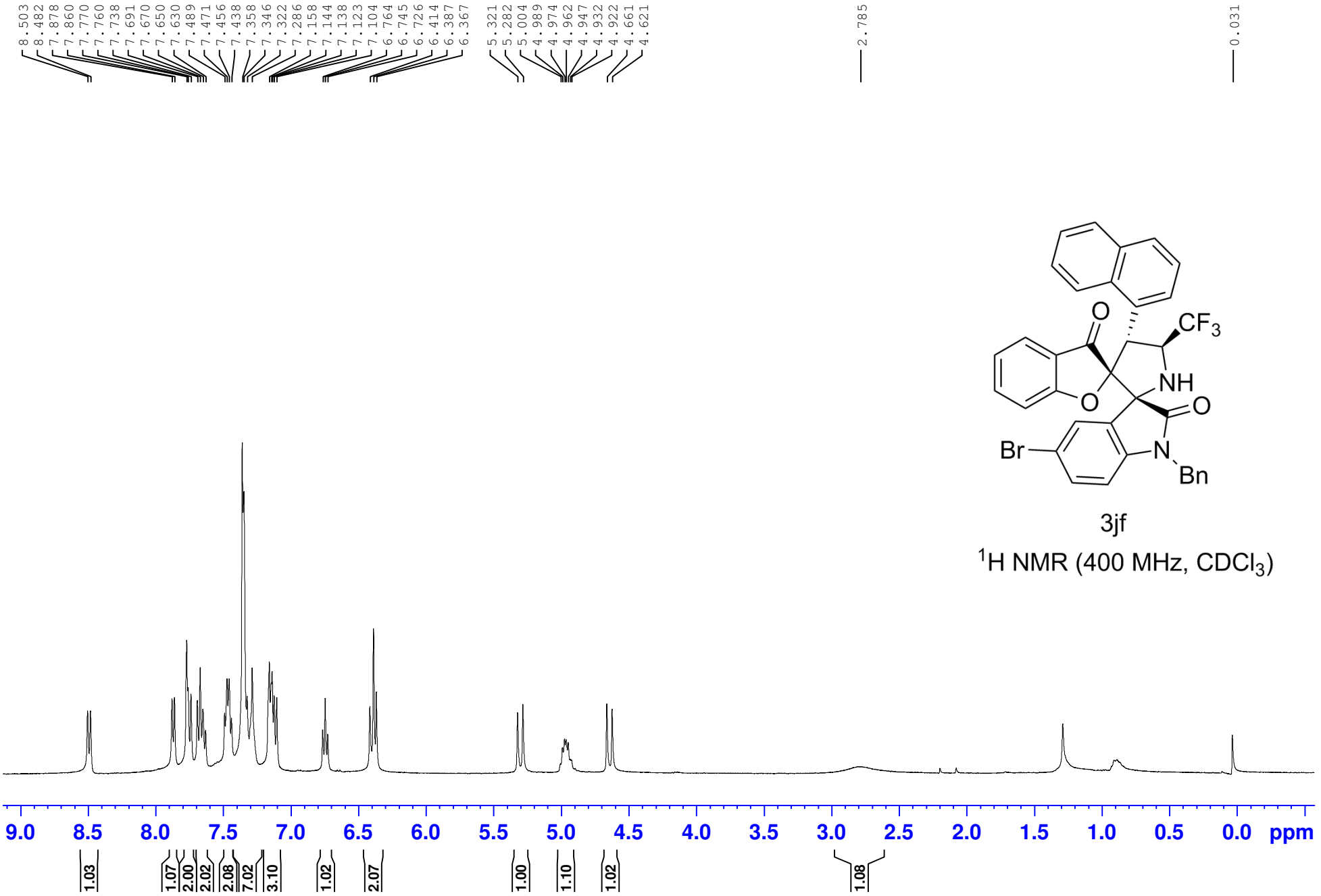

8.510
8.481
7.867
7.843
7.706
7.679
7.636
7.609
7.596
7.571
7.436
7.411
7.384
7.379
7.370
7.355
7.342
7.336
7.317
7.310
7.292
7.285
7.267
7.254
7.240
7.231
7.208
7.078
7.049
7.034
7.017
7.007
6.981
6.862
6.837
6.812
6.654
6.629
6.604
6.490
6.473
6.465
6.437
5.321
5.269
5.020
4.998
4.974
4.963
4.951
4.941
4.916
4.892
4.656
4.604
3.052
3.024
-0.000
O
CF3
NH
O
O
O
N
Bn
3ja
1H NMR (300 MHz, CDCl3)
10
9
8
7
6
5
4
3
2
1
0
ppm
1.00
1.02
1.02
3.04
1.02
6.04
1.30
3.01
1.02
1.02
2.01
1.01
1.02
1.00
1.01

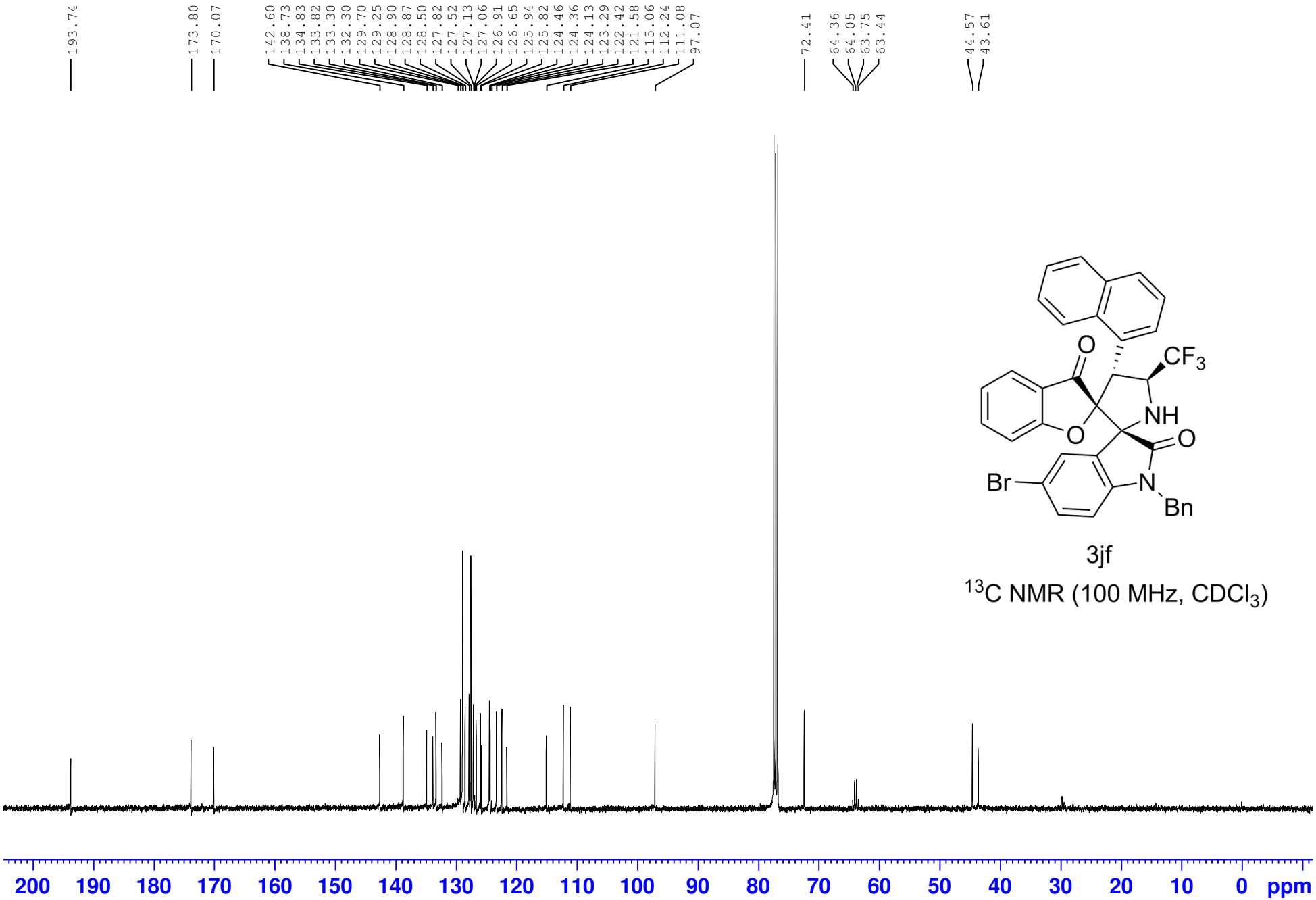

194.03
174.32
170.10
143.67
138.36
135.40
133.83
132.40
130.61
129.90
128.81
128.74
128.46
127.62
127.57
127.46
127.12
127.05
126.66
125.86
125.85
124.45
124.33
124.24
123.78
123.45
122.60
122.11
121.72
121.55
112.27
109.61
97.37
72.50
64.40
64.09
63.79
63.49
44.51
43.76
129.9007
127.1161
124.3326
121.5501
130
128
126
124
[ppm]
O
CF3
NH
O
O
O
N
Bn
3ja
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

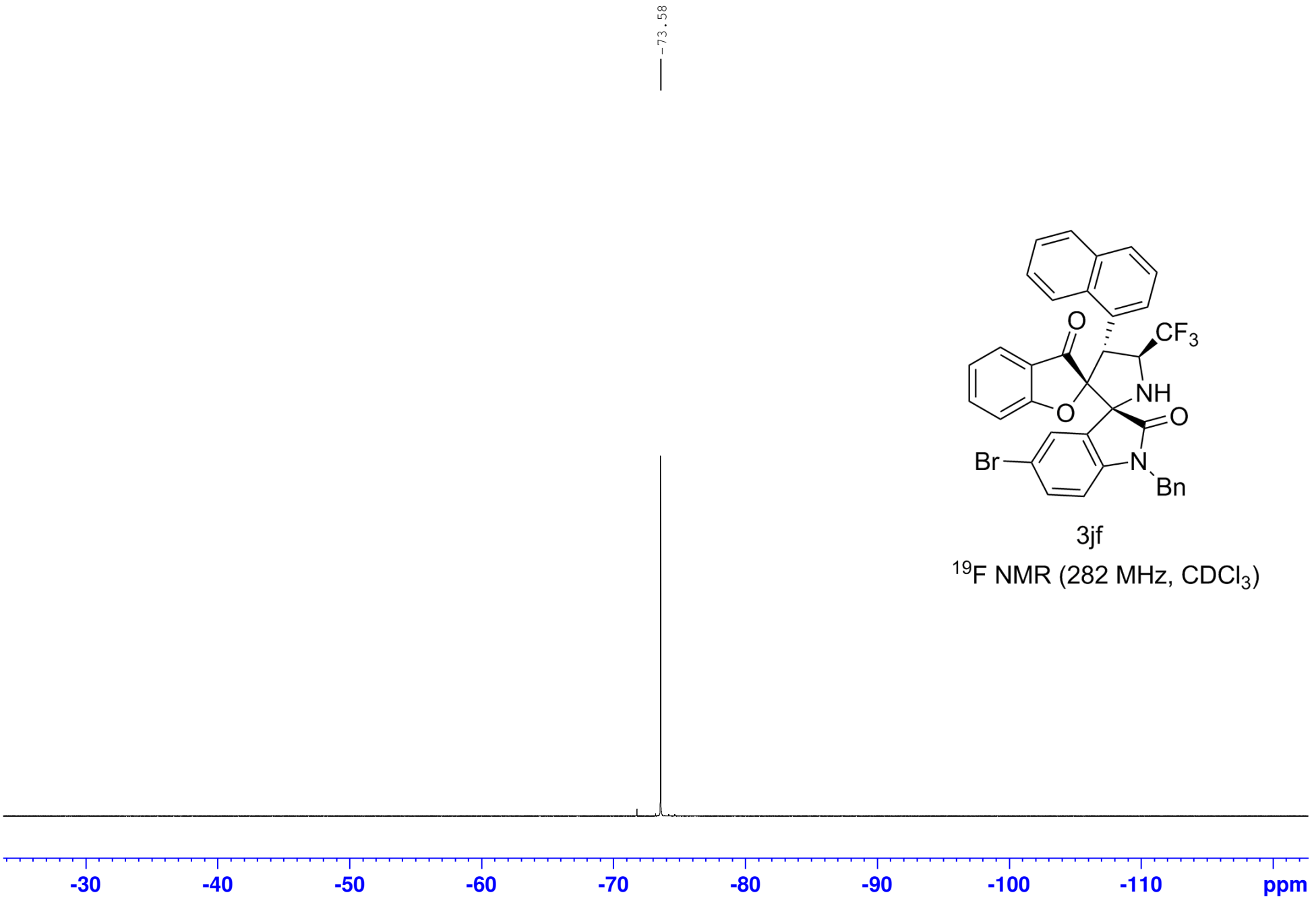


3ja

^{19}F NMR (282 MHz, $CDCl_3$)

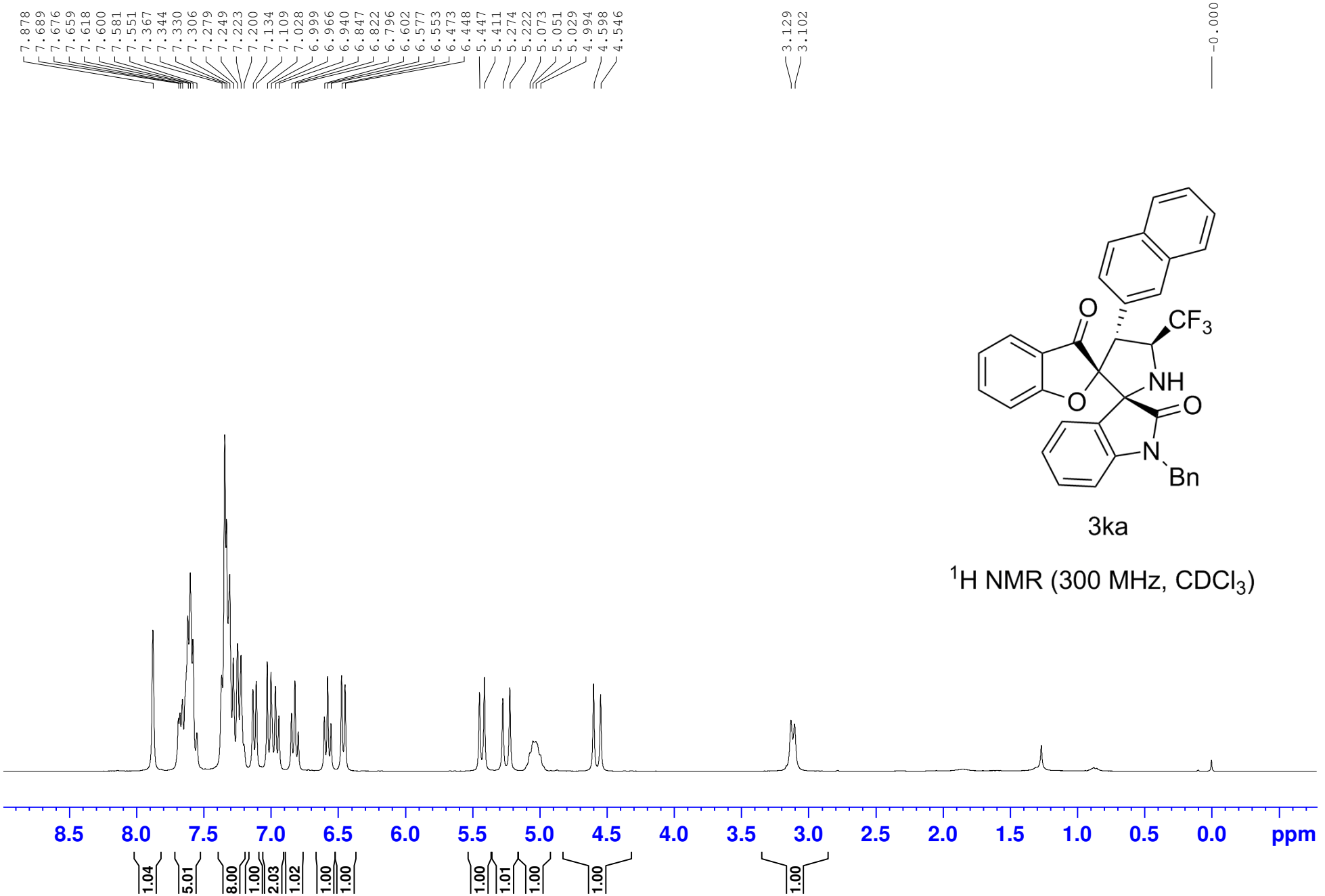

8.503
8.482
7.878
7.860
7.770
7.760
7.738
7.691
7.670
7.650
7.630
7.489
7.471
7.456
7.438
7.358
7.346
7.322
7.286
7.158
7.144
7.138
7.123
7.104
6.764
6.745
6.726
6.414
6.387
6.367
5.321
5.282
5.004
4.989
4.974
4.962
4.947
4.932
4.922
4.661
4.621
2.785
0.031
O
CF3
NH
O
O
Br
N
Bn
3jf
1H NMR (400 MHz, CDCl3)
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
ppm
1.03
1.07
2.00
2.02
2.08
7.02
3.10
1.02
2.07
1.00
1.10
1.02
1.08

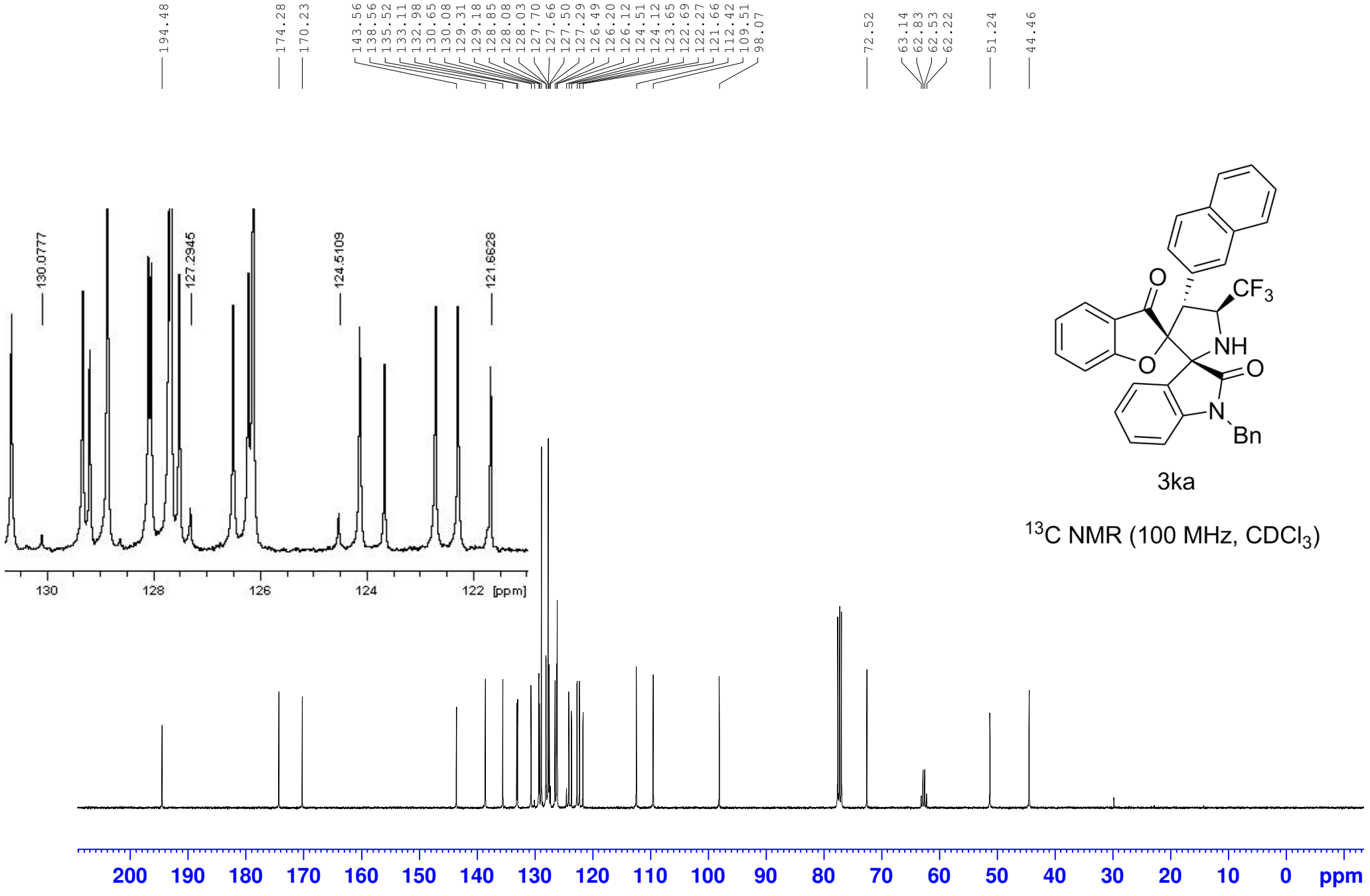

193.74
173.80
170.07
142.60
138.73
134.83
133.82
133.30
132.30
129.70
129.25
128.90
128.87
128.50
127.82
127.52
127.13
127.06
126.91
126.65
125.94
125.82
124.46
124.36
124.13
123.29
122.42
121.58
115.06
112.24
111.08
97.07
72.41
64.36
64.05
63.75
63.44
44.57
43.61
O
CF3
NH
O
O
Br
N
Bn
3jf
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

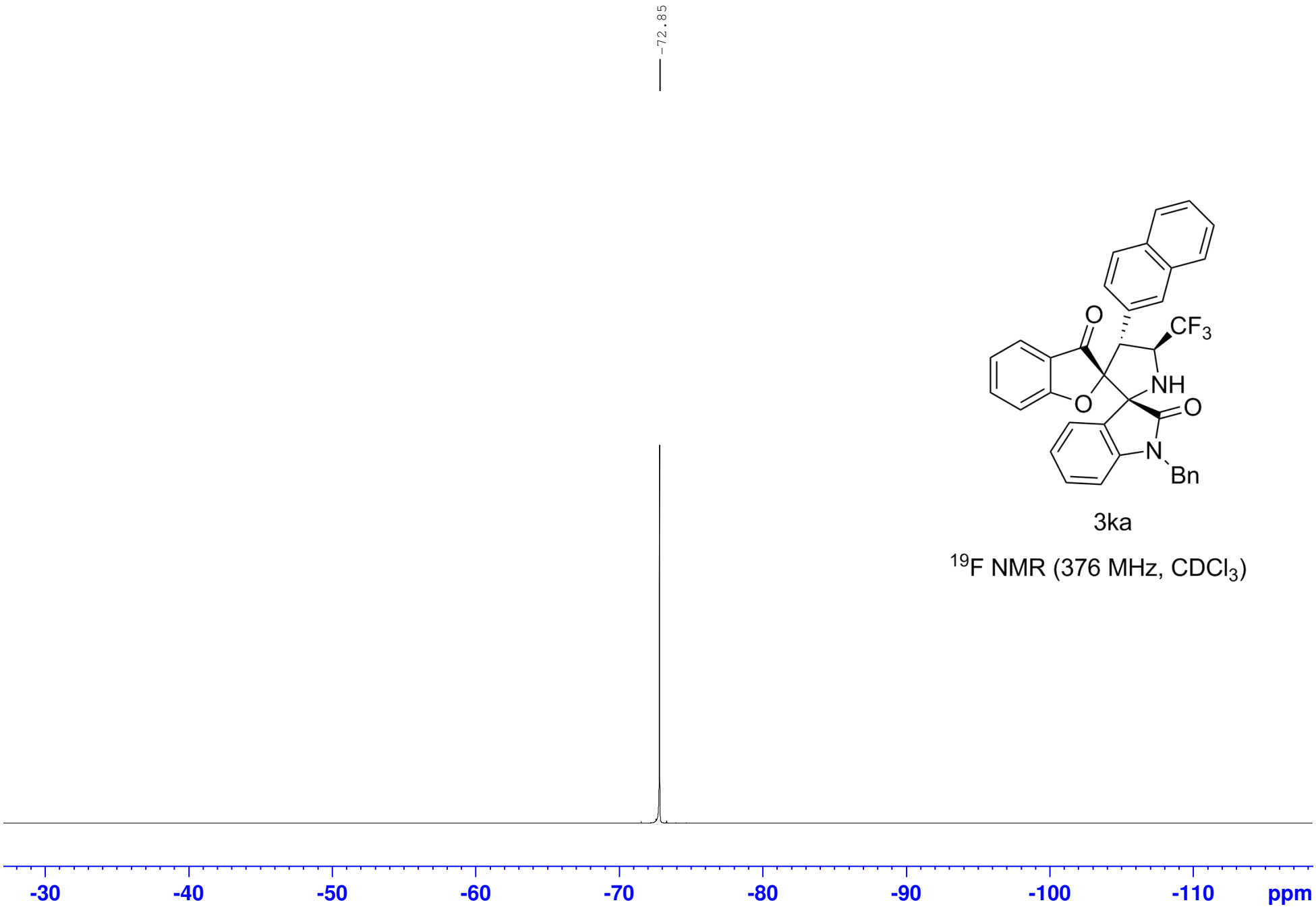


3jf

^{19}F NMR (282 MHz, $CDCl_3$)

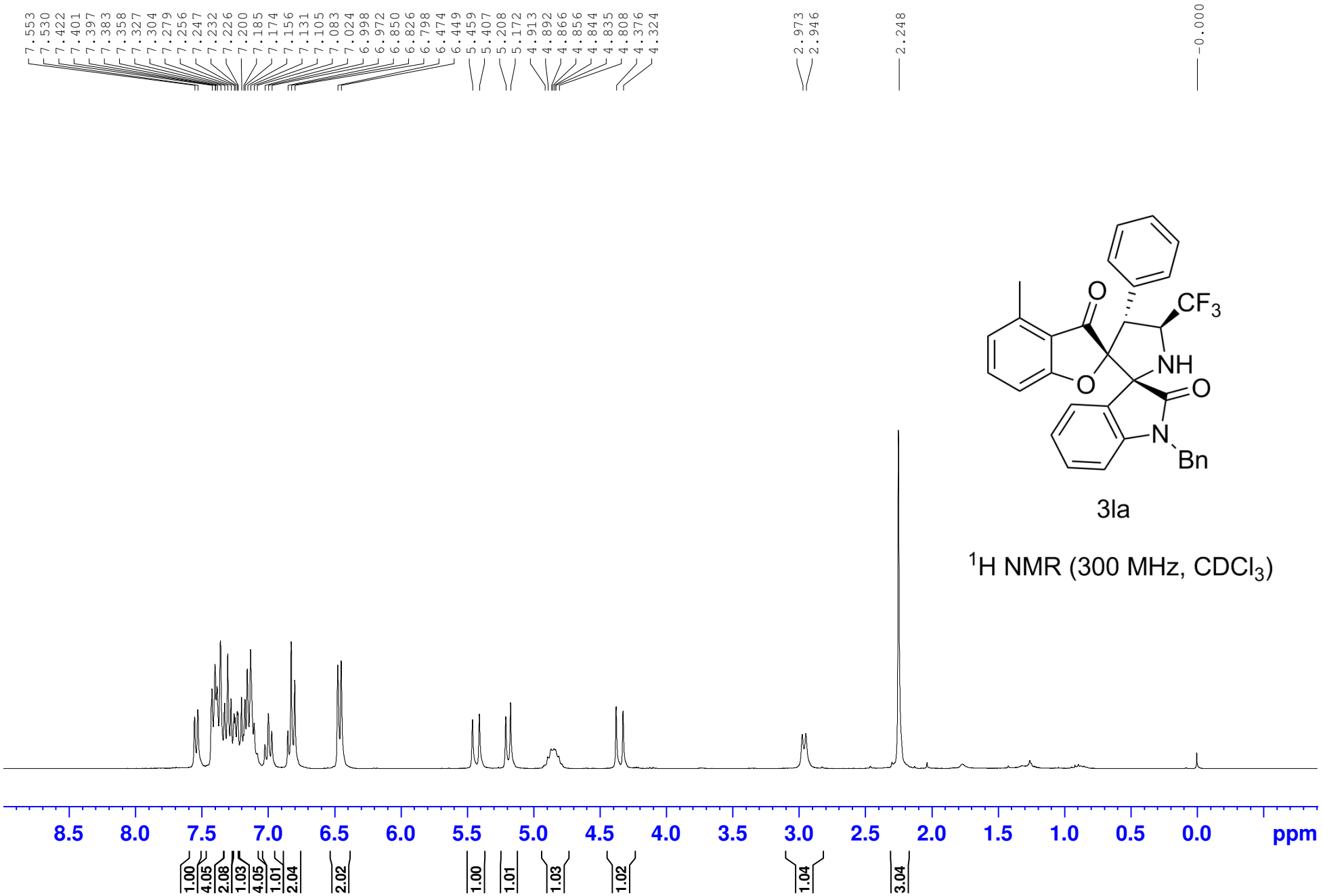

7.878
7.689
7.676
7.659
7.618
7.600
7.581
7.551
7.367
7.344
7.330
7.306
7.279
7.249
7.223
7.200
7.134
7.109
7.028
6.999
6.966
6.940
6.847
6.822
6.796
6.602
6.577
6.553
6.473
6.448
5.447
5.411
5.274
5.222
5.073
5.051
5.029
4.994
4.598
4.546
3.129
3.102
0.000
O
CF3
NH
O
O
N
Bn
3ka
1H NMR (300 MHz, CDCl3)
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
ppm
1.04
5.01
8.00
1.00
2.03
1.02
1.00
1.00
1.00
1.01
1.00
1.00
1.00

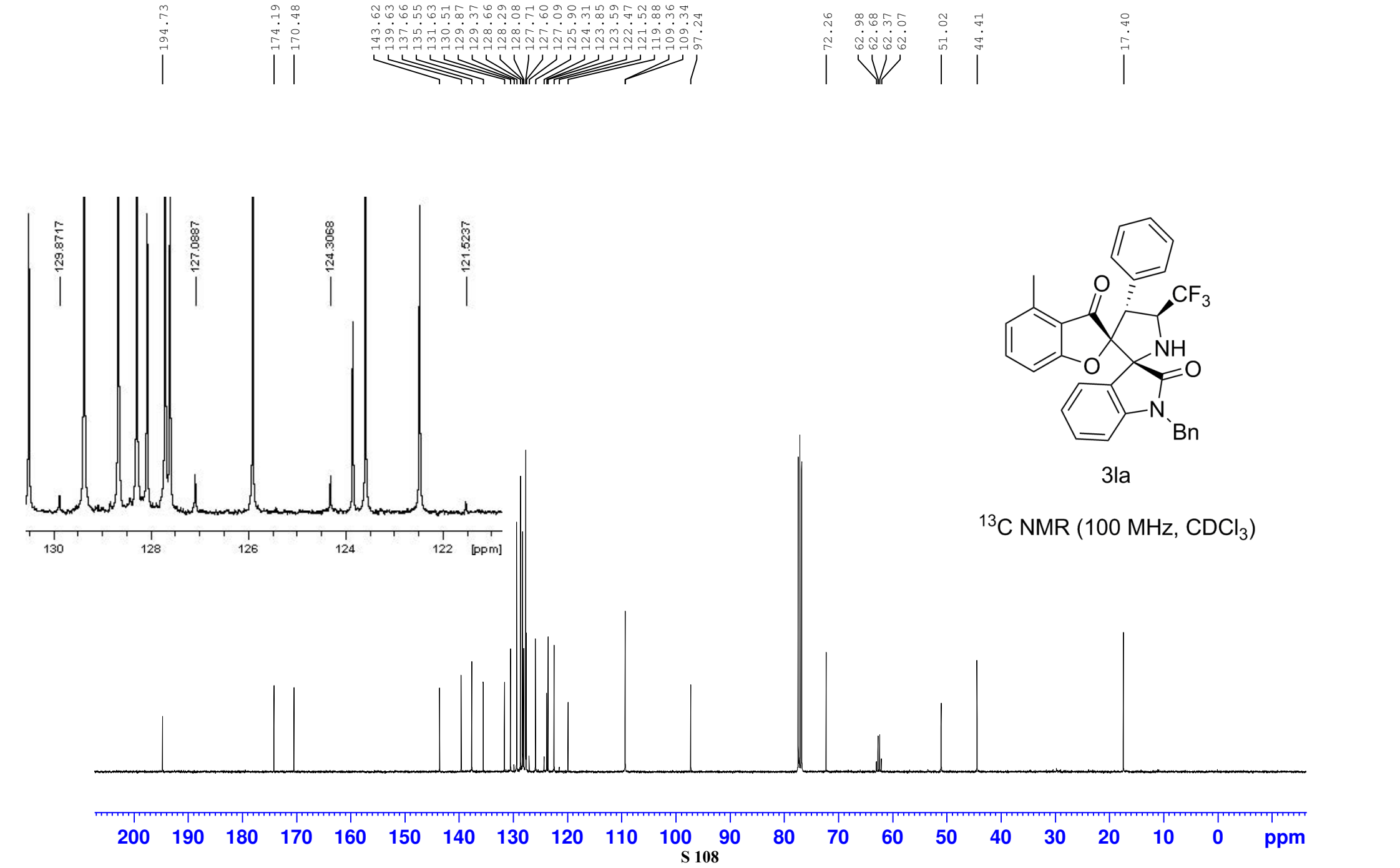

194.48
174.28
170.23
143.56
138.56
135.52
133.11
132.98
130.65
130.08
129.31
129.18
128.85
128.08
128.03
127.70
127.66
127.50
127.29
126.49
126.20
126.12
124.51
124.12
123.65
122.69
122.27
121.66
112.42
109.51
98.07
72.52
63.14
62.83
62.53
62.22
51.24
44.46
130.0777
127.2945
124.5109
121.6628
130
128
126
124
122 [ppm]
O
CF3
NH
O
O
N
Bn
3ka
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

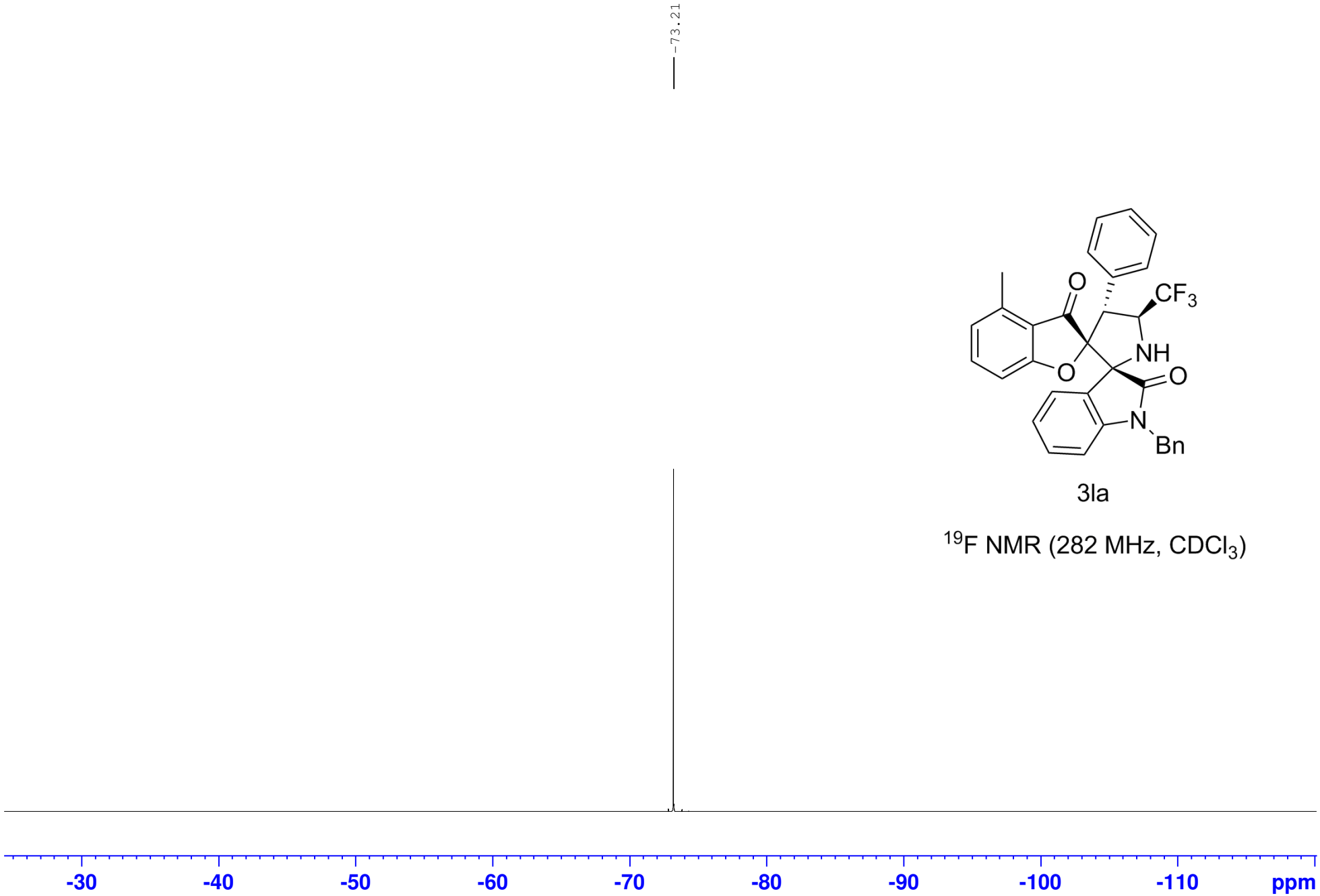


3ka

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

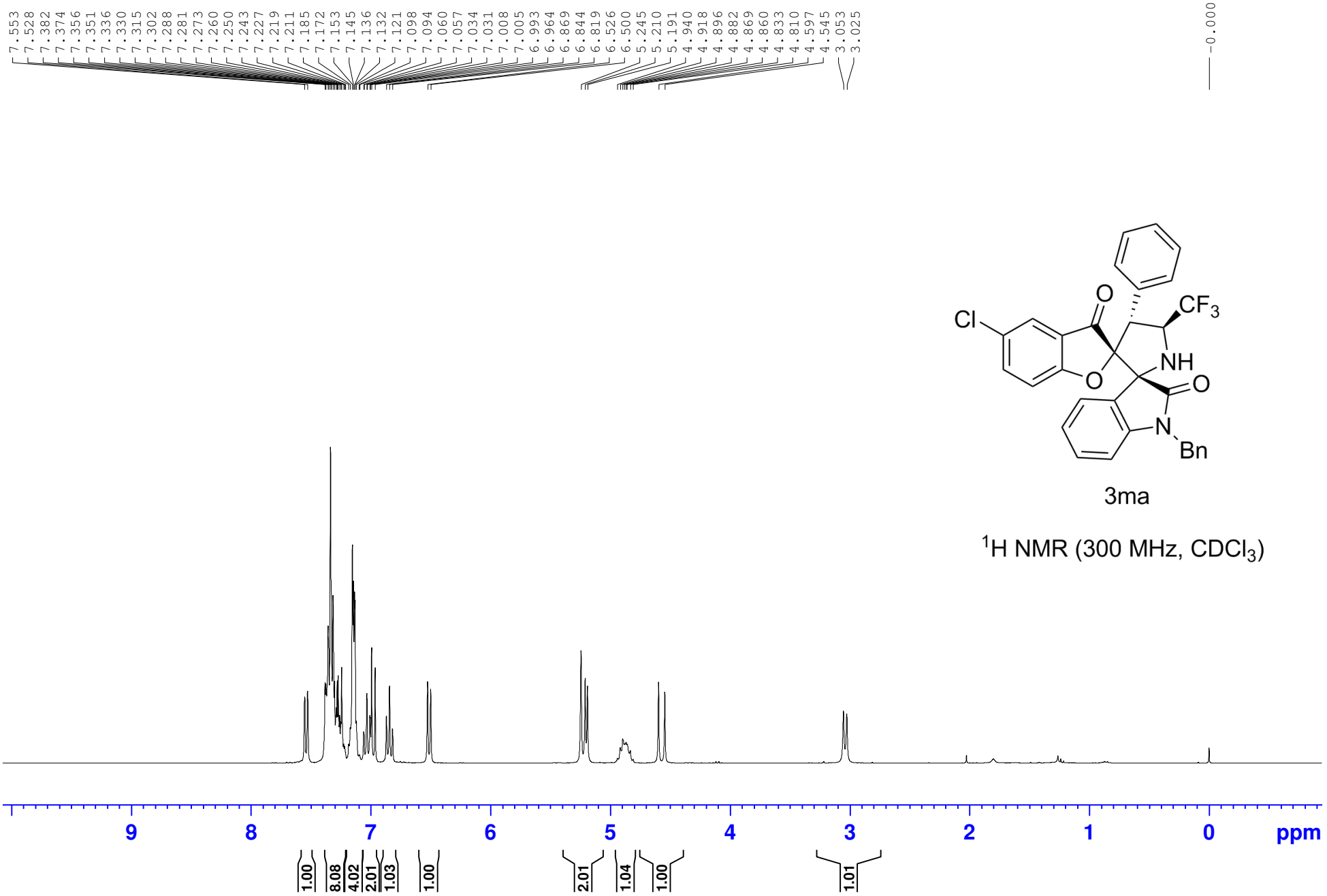

7.553
7.530
7.422
7.401
7.397
7.383
7.358
7.327
7.304
7.279
7.256
7.247
7.232
7.226
7.200
7.185
7.174
7.156
7.131
7.105
7.083
7.024
6.998
6.972
6.850
6.826
6.798
6.474
6.449
5.459
5.407
5.208
5.172
4.913
4.892
4.866
4.856
4.844
4.835
4.808
4.376
4.324
2.973
2.946
2.248
0.000
O
CF3
NH
O
O
O
N
Bn
3la
1H NMR (300 MHz, CDCl3)
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
ppm
1.00
4.05
2.08
1.03
4.05
1.01
2.04
2.02
1.00
1.01
1.03
1.02
1.04
3.04


194.73
174.19
170.48
143.62
139.63
137.66
135.55
131.63
130.51
129.87
129.37
128.66
128.29
128.08
127.71
127.60
127.09
125.90
124.31
123.85
123.59
122.47
121.52
119.88
109.36
109.34
97.24
72.26
62.98
62.68
62.37
62.07
51.02
44.41
17.40
129.8717
127.0887
124.3068
121.5237
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
Bn
3la
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



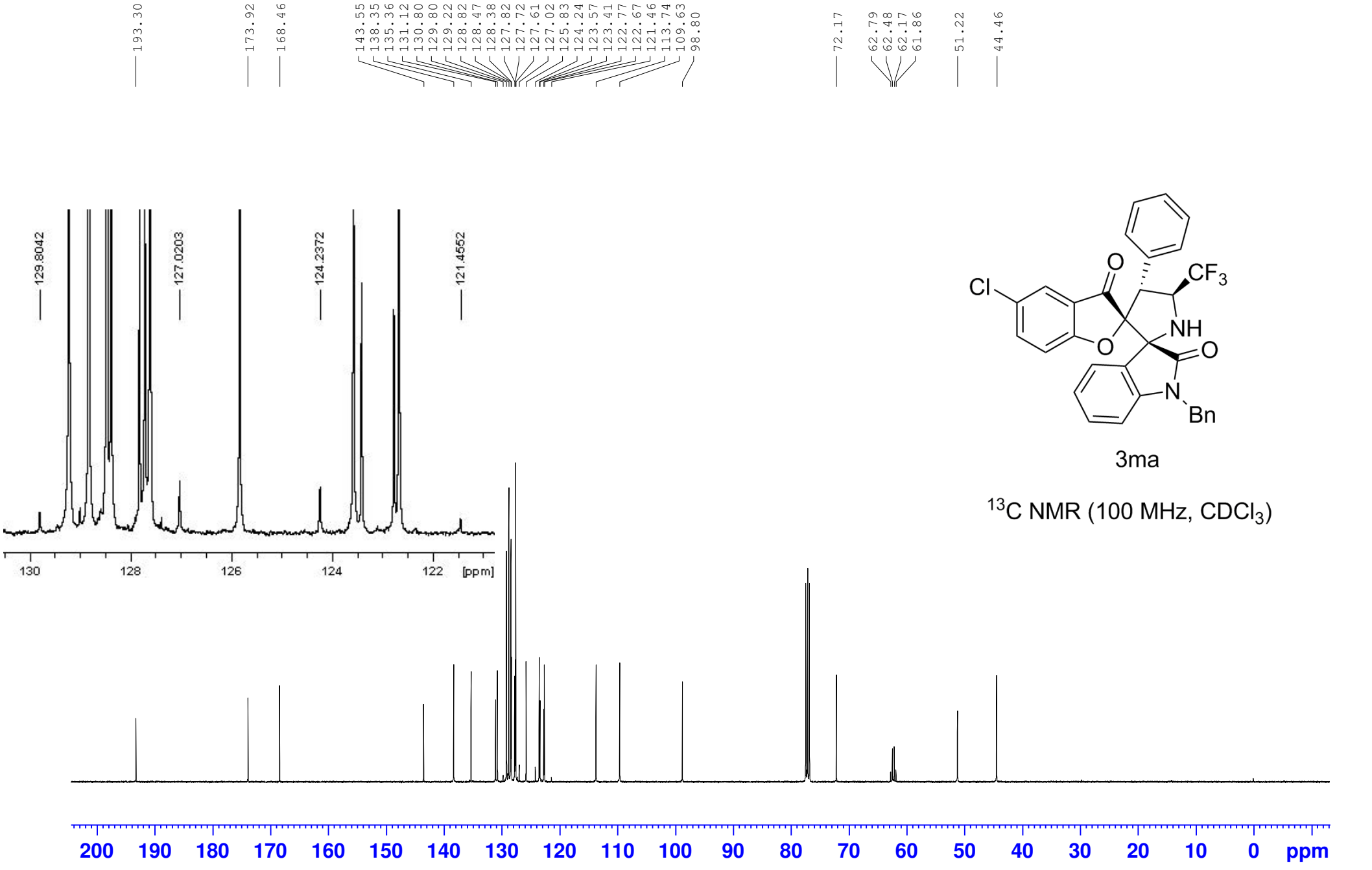
3la

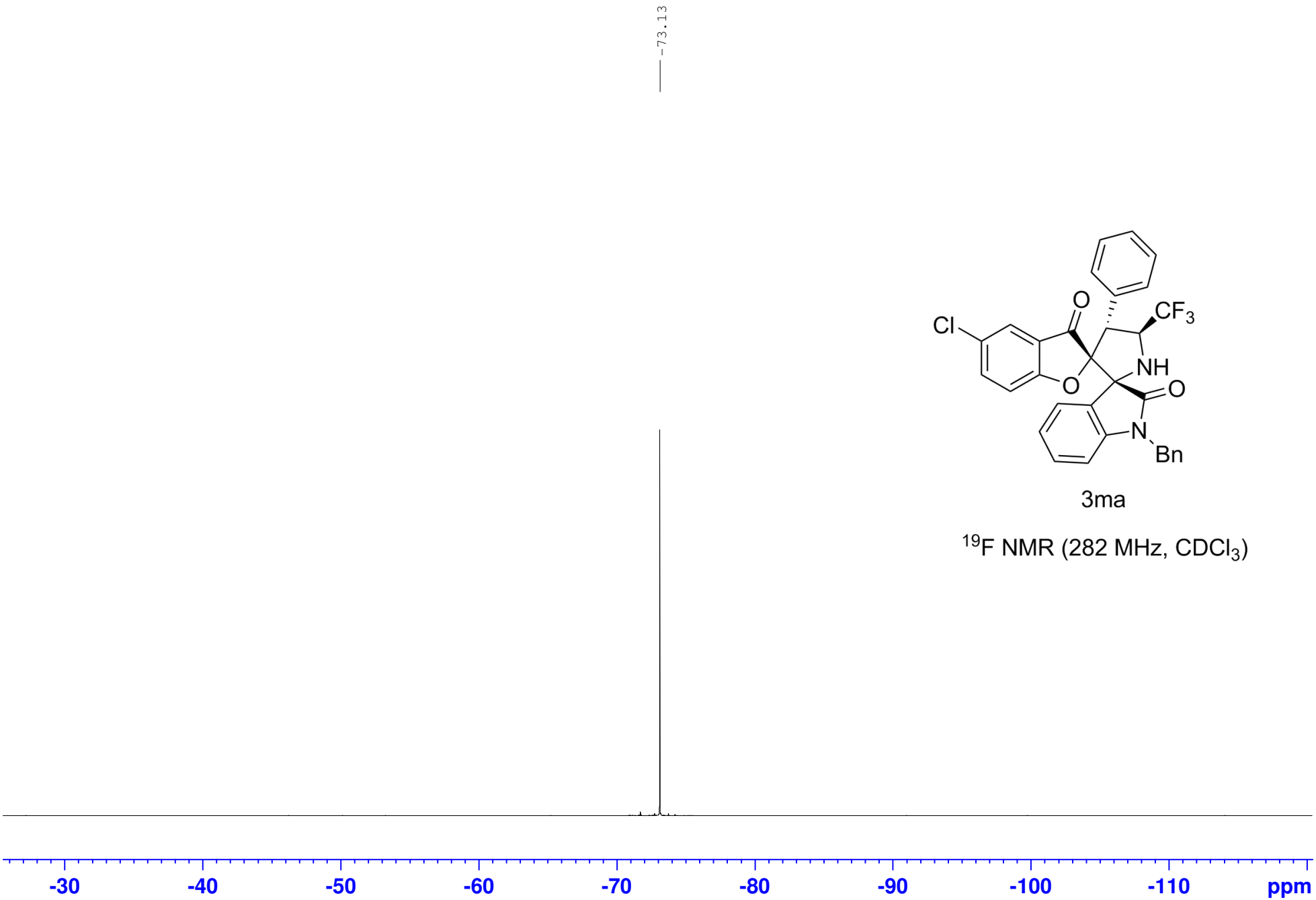
^{19}F NMR (282 MHz, $CDCl_3$)



3ma

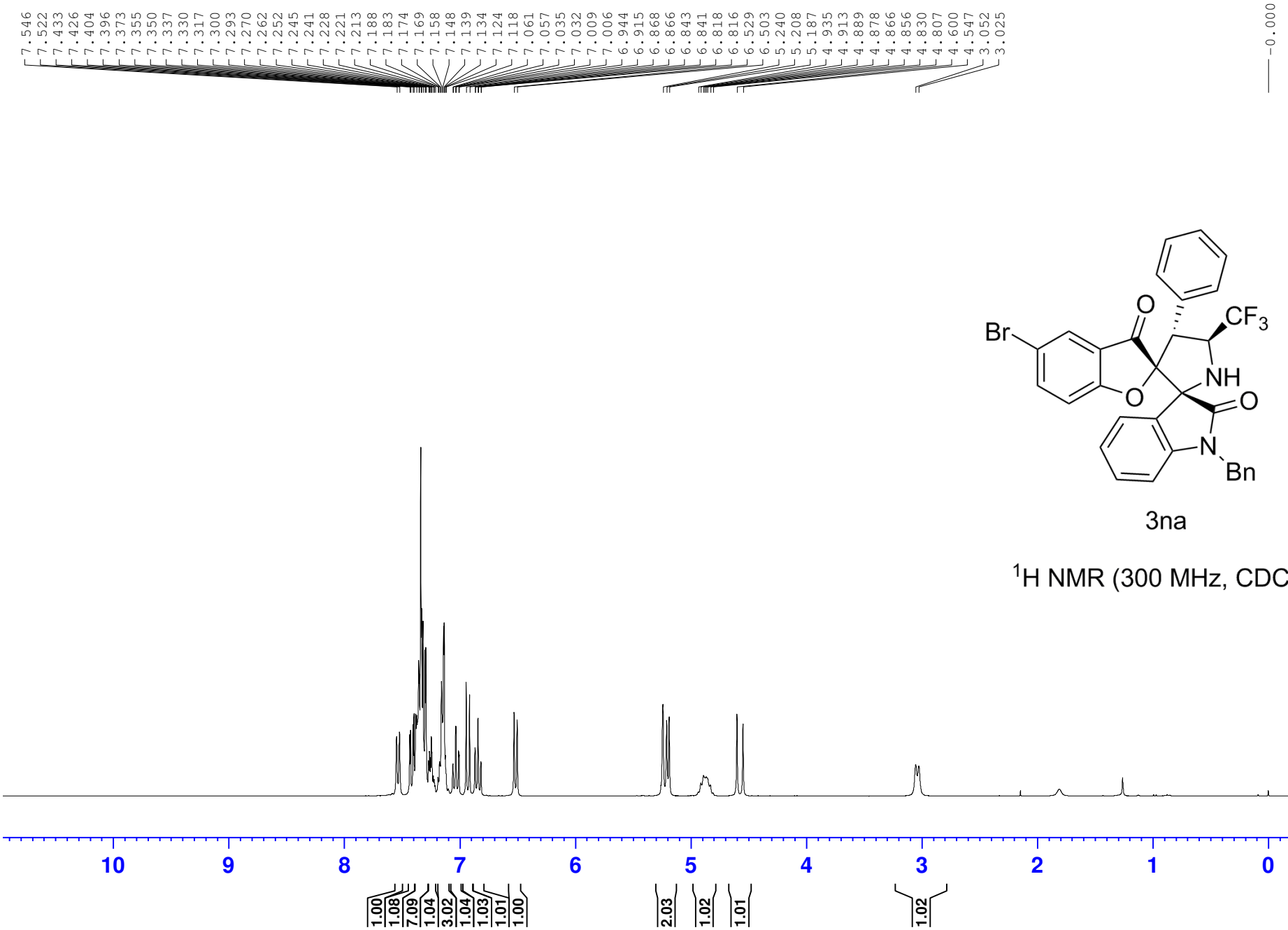
^{1}H NMR (300 MHz, $CDCl_3$)

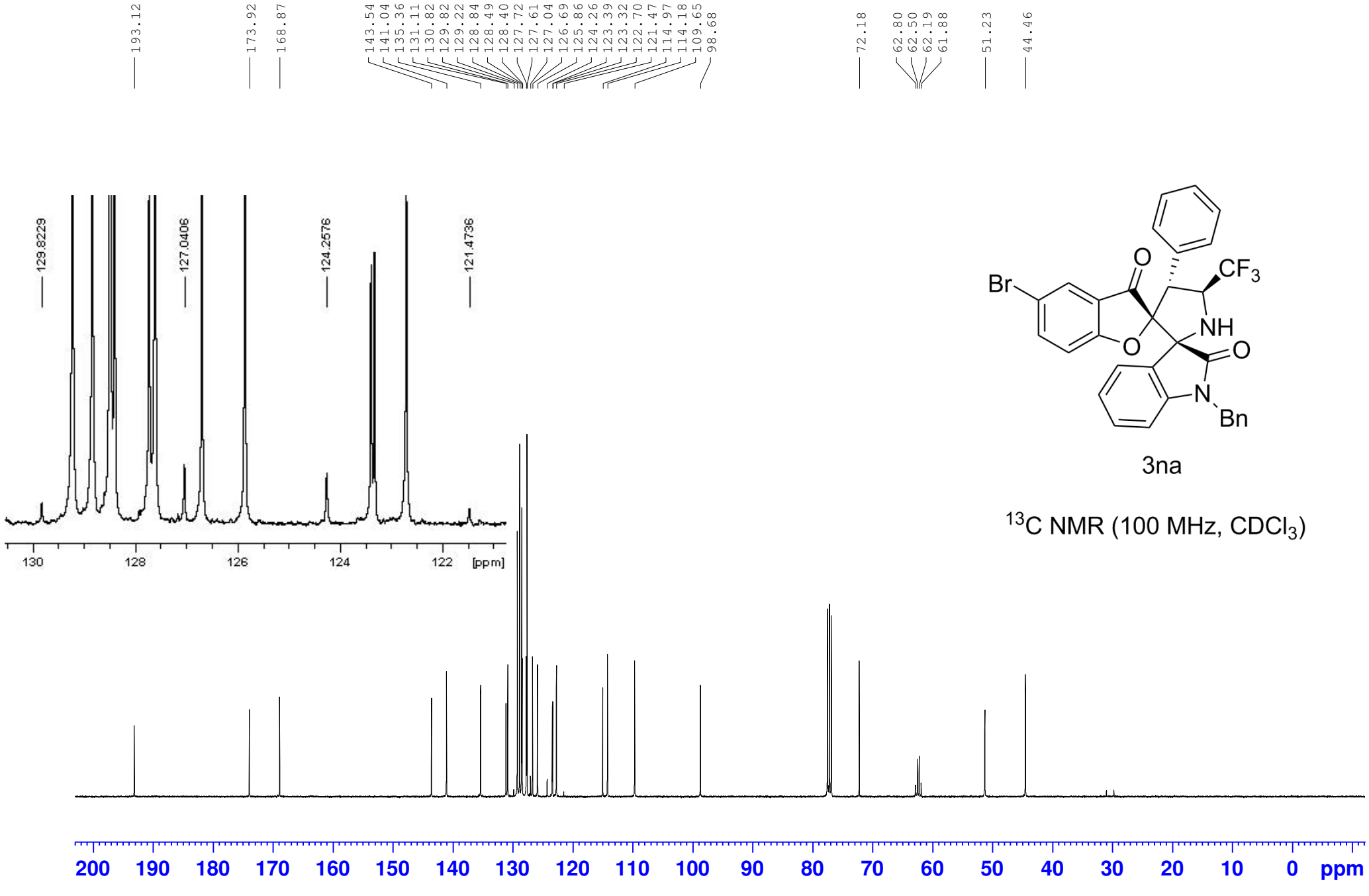

193.30
173.92
168.46
143.55
138.35
135.36
131.12
130.80
129.80
129.22
128.82
128.47
128.38
127.82
127.72
127.61
127.02
125.83
124.24
123.57
123.41
122.77
122.67
121.46
113.74
109.63
98.80
72.17
62.79
62.48
62.17
61.86
51.22
44.46
129.8042
127.0203
124.2372
121.4552
130
128
126
124
122
[ppm]
Cl
O
CF3
NH
O
O
N
Bn
3ma
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



3ma

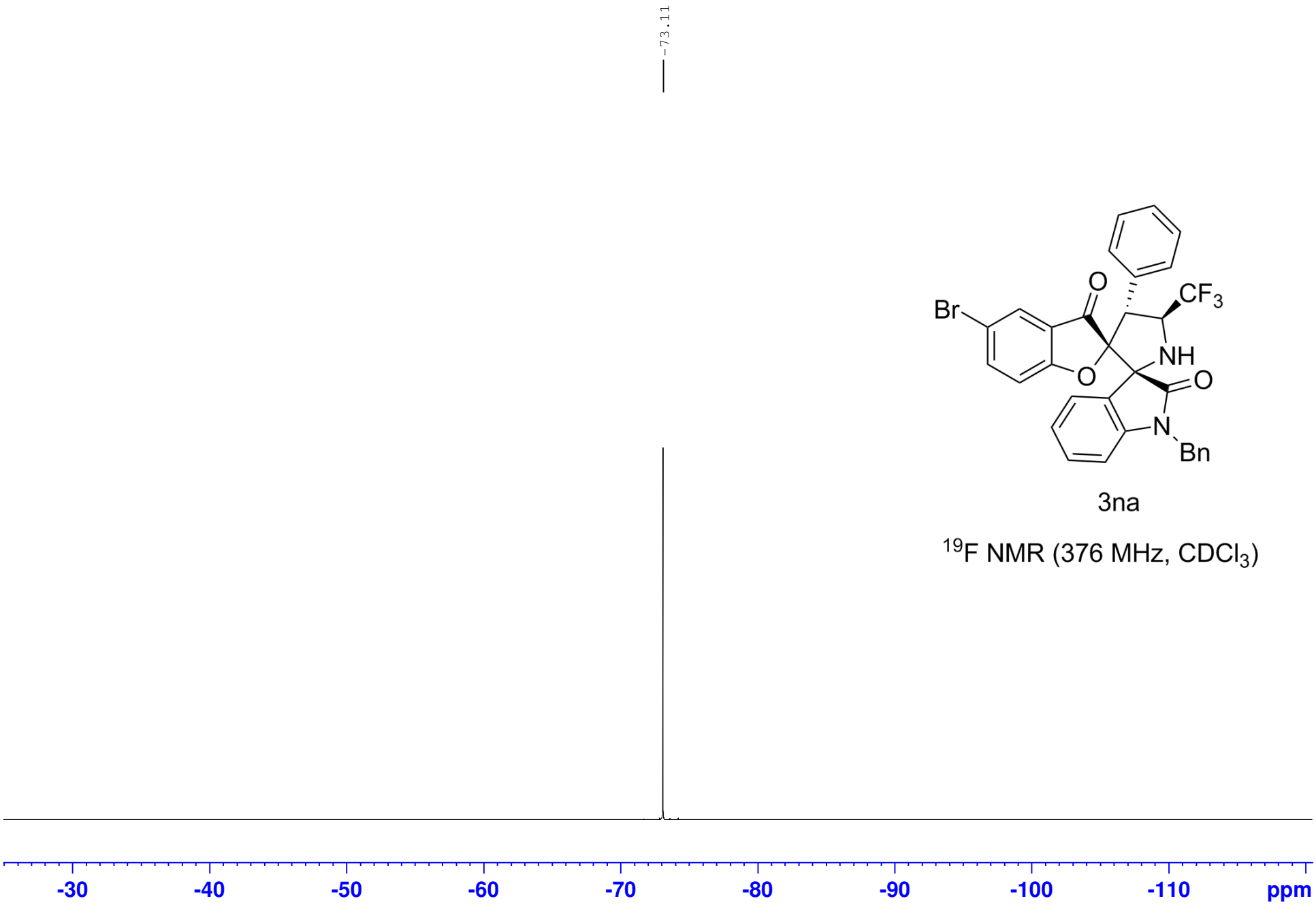
^{19}F NMR (282 MHz, $CDCl_3$)

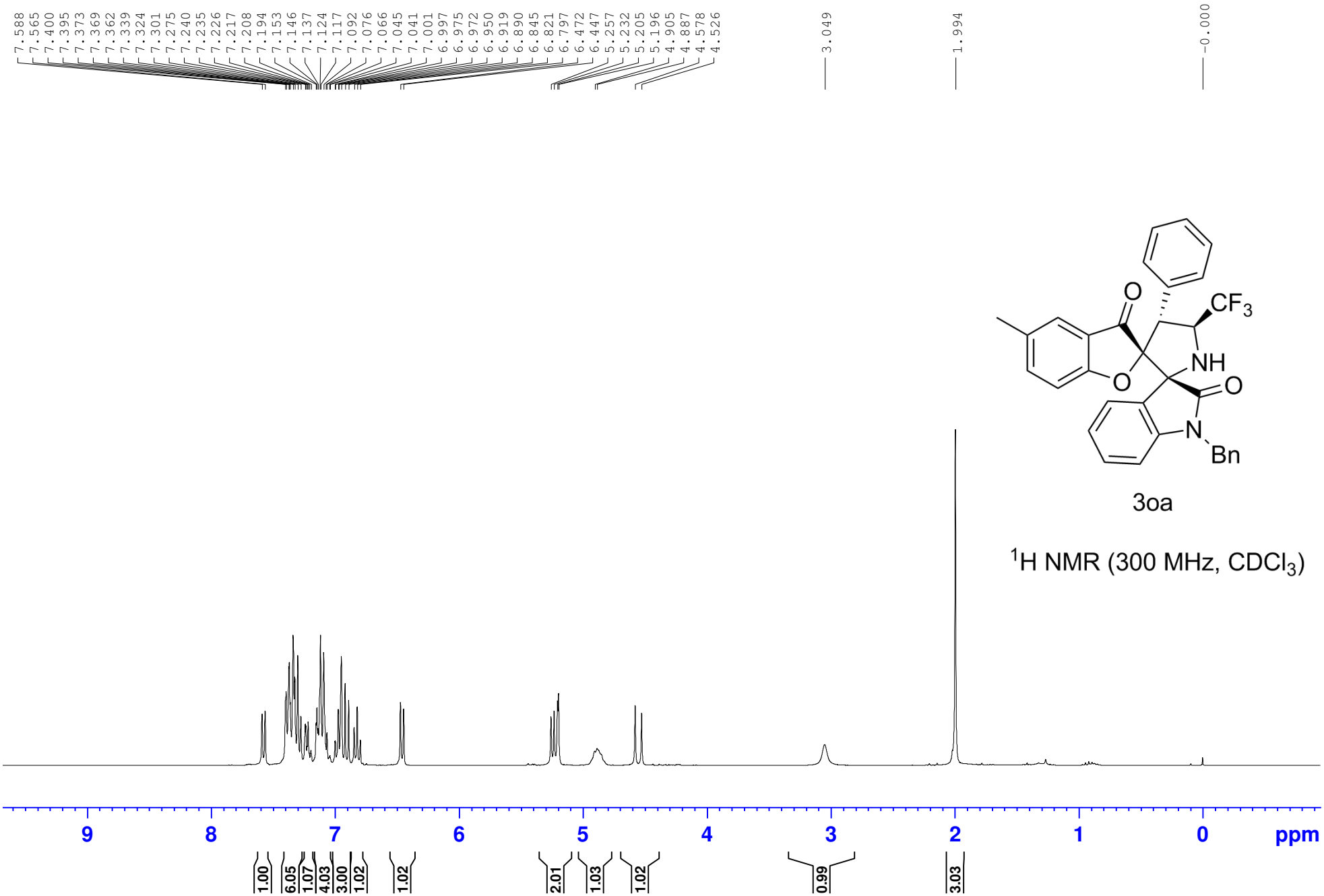

7.546
7.522
7.433
7.426
7.404
7.396
7.373
7.355
7.350
7.337
7.330
7.317
7.300
7.293
7.270
7.262
7.252
7.245
7.241
7.228
7.221
7.213
7.188
7.183
7.174
7.169
7.158
7.148
7.139
7.134
7.124
7.118
7.061
7.057
7.035
7.032
7.009
7.006
6.944
6.915
6.868
6.866
6.843
6.841
6.818
6.816
6.529
6.503
5.240
5.208
5.187
4.935
4.913
4.889
4.878
4.866
4.856
4.830
4.807
4.600
4.547
3.052
3.025
-0.000
Br
O
CF3
NH
O
O
N
Bn
3na
1H NMR (300 MHz, CDCl3)
10
9
8
7
6
5
4
3
2
1
0
ppm
1.00
1.08
7.09
1.04
3.02
1.04
1.03
1.01
1.00
2.03
1.02
1.01
1.02

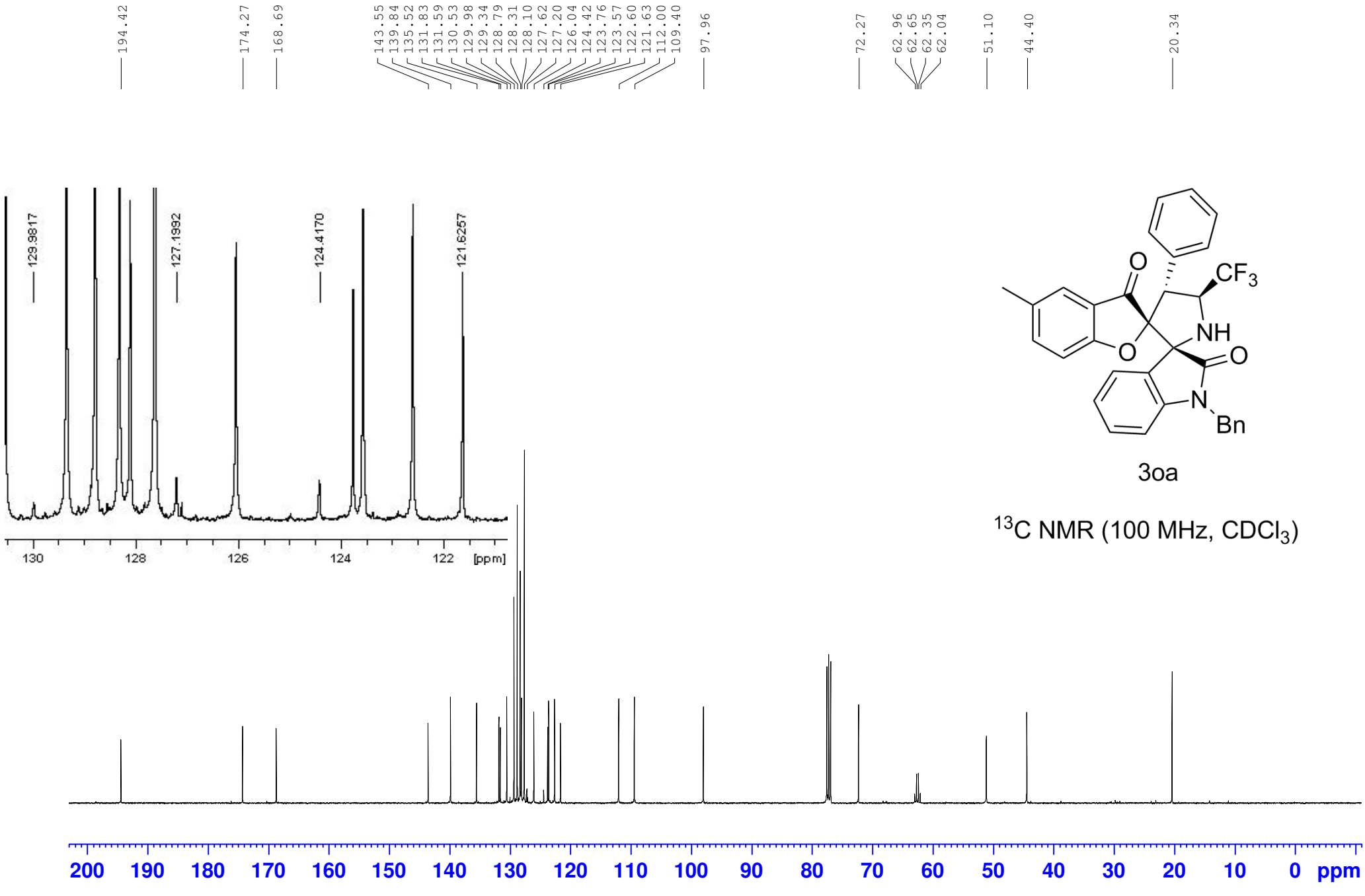

193.12
173.92
168.87
143.54
141.04
135.36
131.11
130.82
129.82
129.22
128.84
128.49
128.40
127.72
127.61
127.04
126.69
125.86
124.26
123.39
123.32
122.70
121.47
114.97
114.18
109.65
98.68
72.18
62.80
62.50
62.19
61.88
51.23
44.46
129.8229
127.0406
124.2576
121.4736
130
128
126
124
122
[ppm]
Br
O
CF3
NH
O
O
N
Bn
3na
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

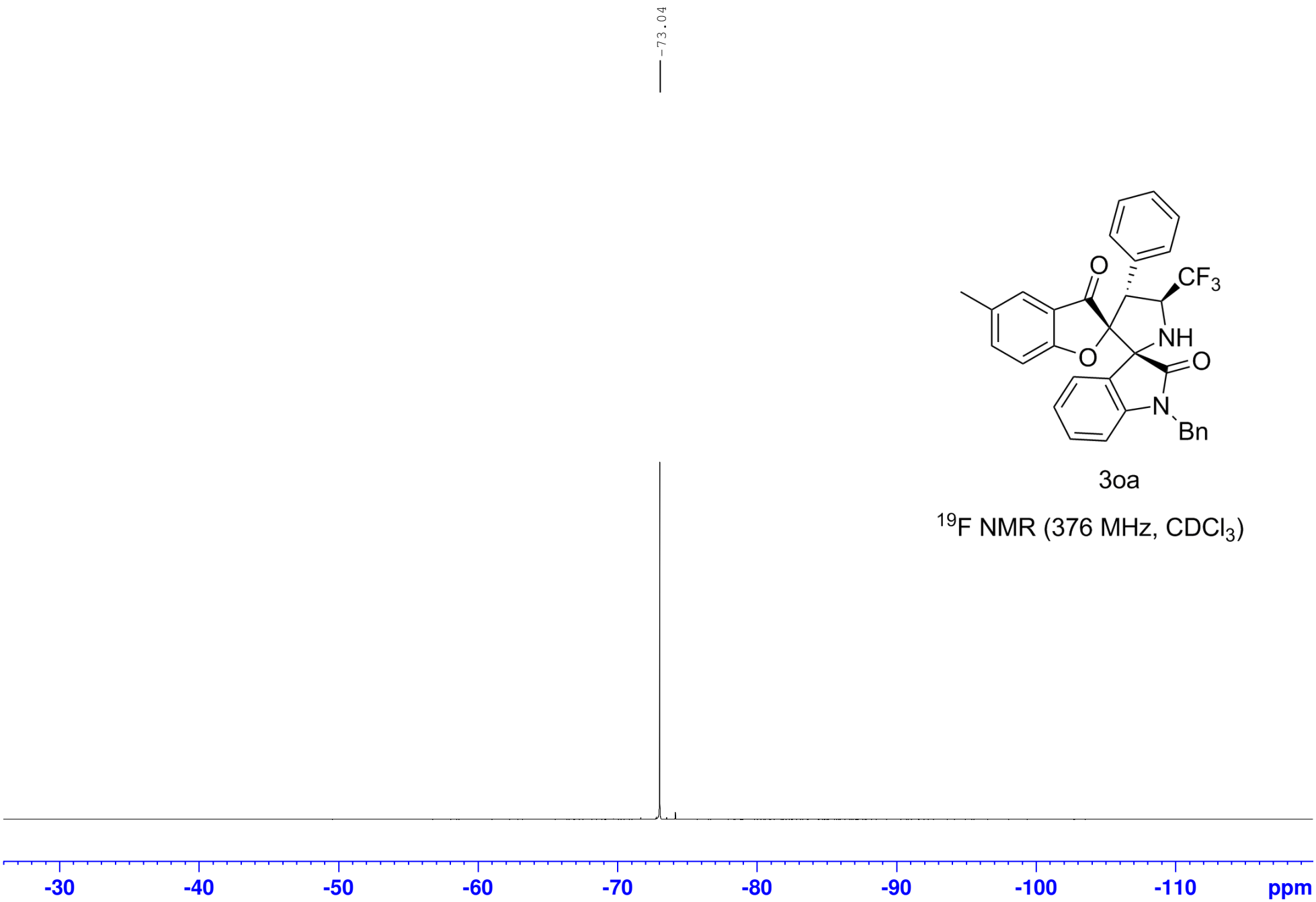
3na

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



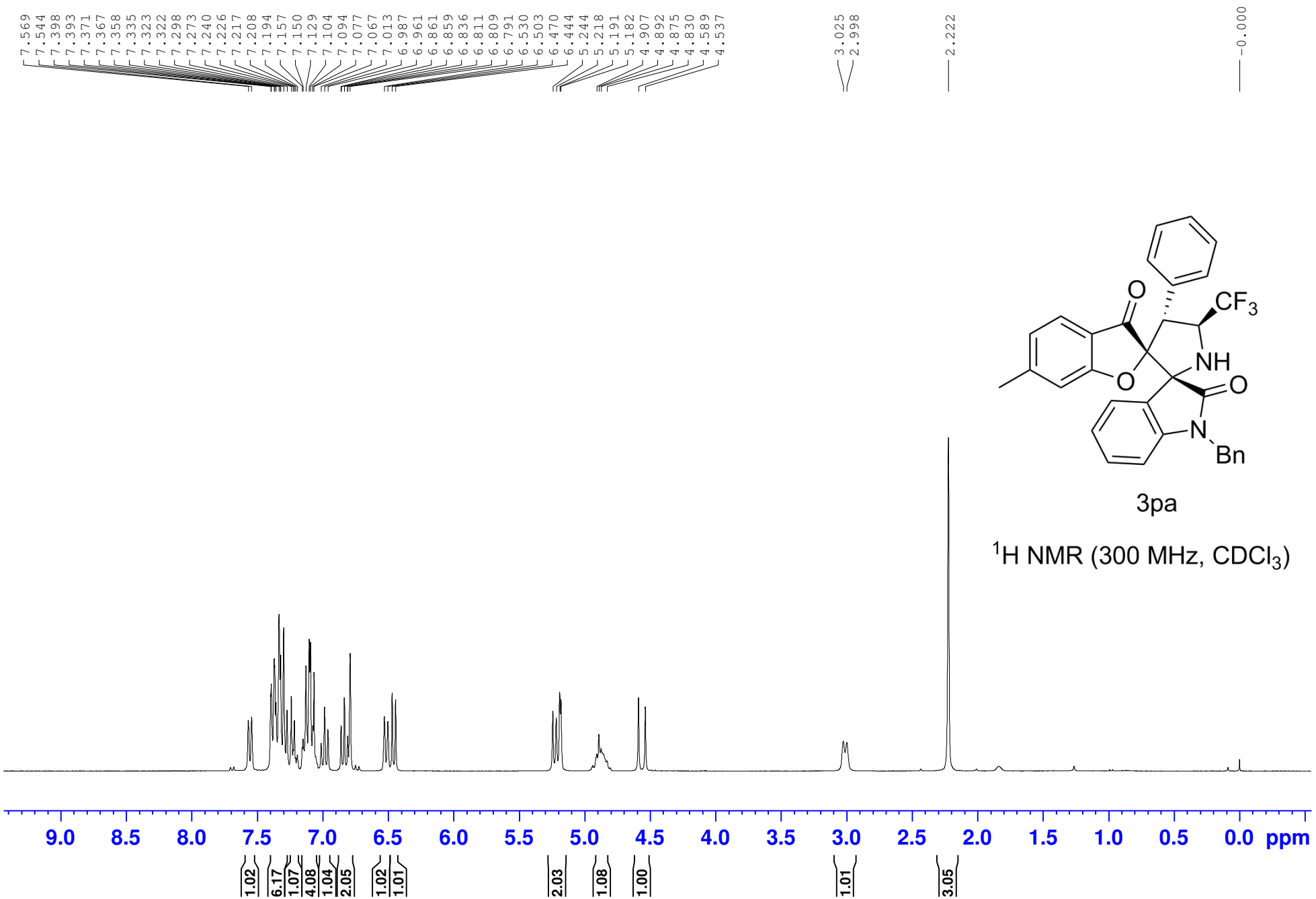

7.588
7.565
7.400
7.395
7.373
7.369
7.362
7.339
7.324
7.301
7.275
7.240
7.235
7.226
7.217
7.208
7.194
7.153
7.146
7.137
7.124
7.117
7.092
7.076
7.066
7.045
7.041
7.001
6.997
6.975
6.972
6.950
6.919
6.890
6.845
6.821
6.797
6.472
6.447
5.257
5.232
5.205
5.196
4.905
4.887
4.578
4.526
3.049
1.994
0.000
O
CF3
NH
O
O
N
Bn
3oa
1H NMR (300 MHz, CDCl3)
9
8
7
6
5
4
3
2
1
0
ppm
1.00
6.05
1.07
4.03
3.00
1.02
1.02
2.01
1.03
1.02
0.99
3.03

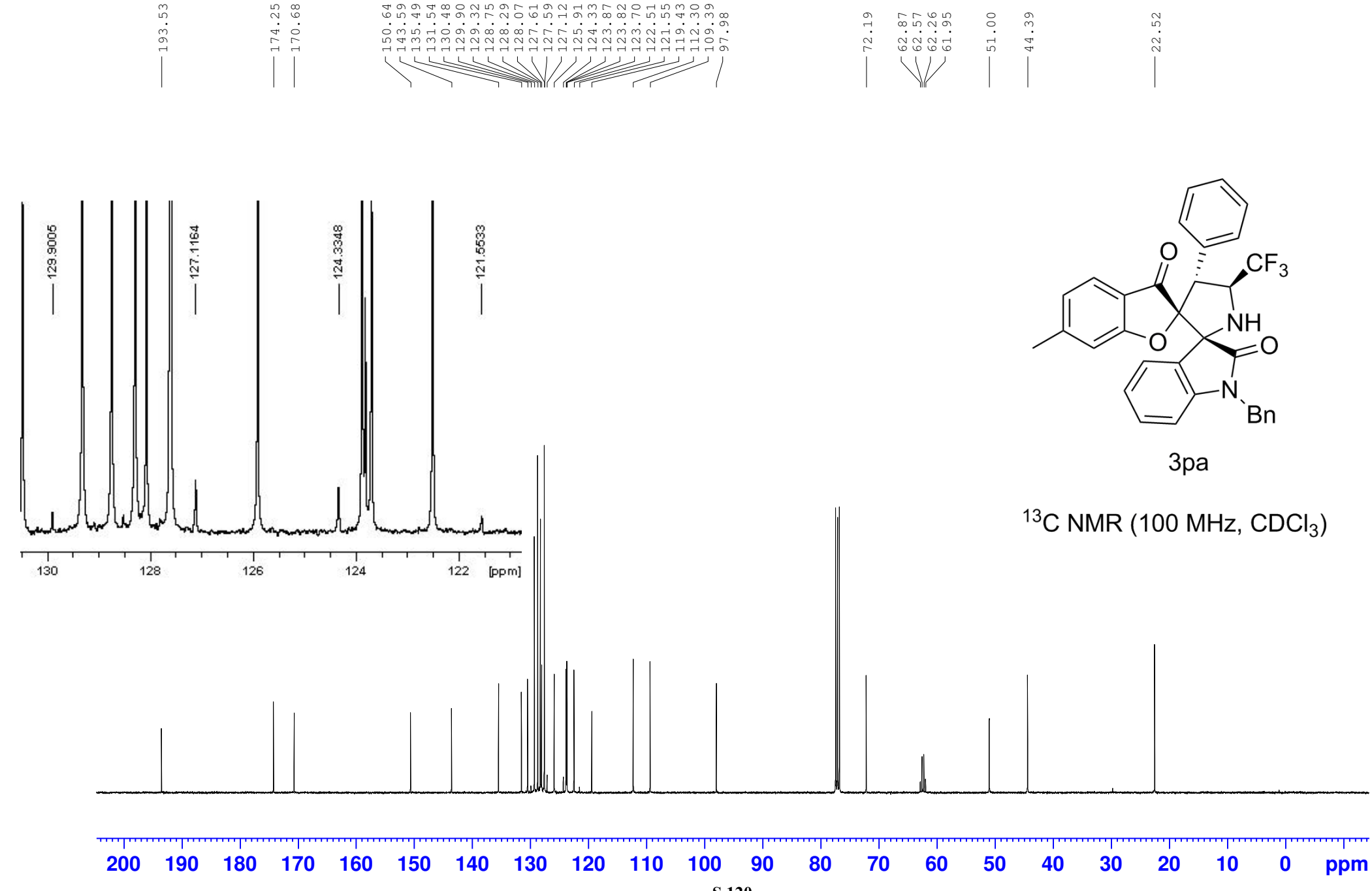

194.42
174.27
168.69
143.55
139.84
135.52
131.83
131.59
130.53
129.98
129.34
128.79
128.31
128.10
127.62
127.20
126.04
124.42
123.76
123.57
122.60
121.63
112.00
109.40
97.96
72.27
62.96
62.65
62.35
62.04
51.10
44.40
20.34
129.9817
127.1992
124.4170
121.6257
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
Bn
3oa
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

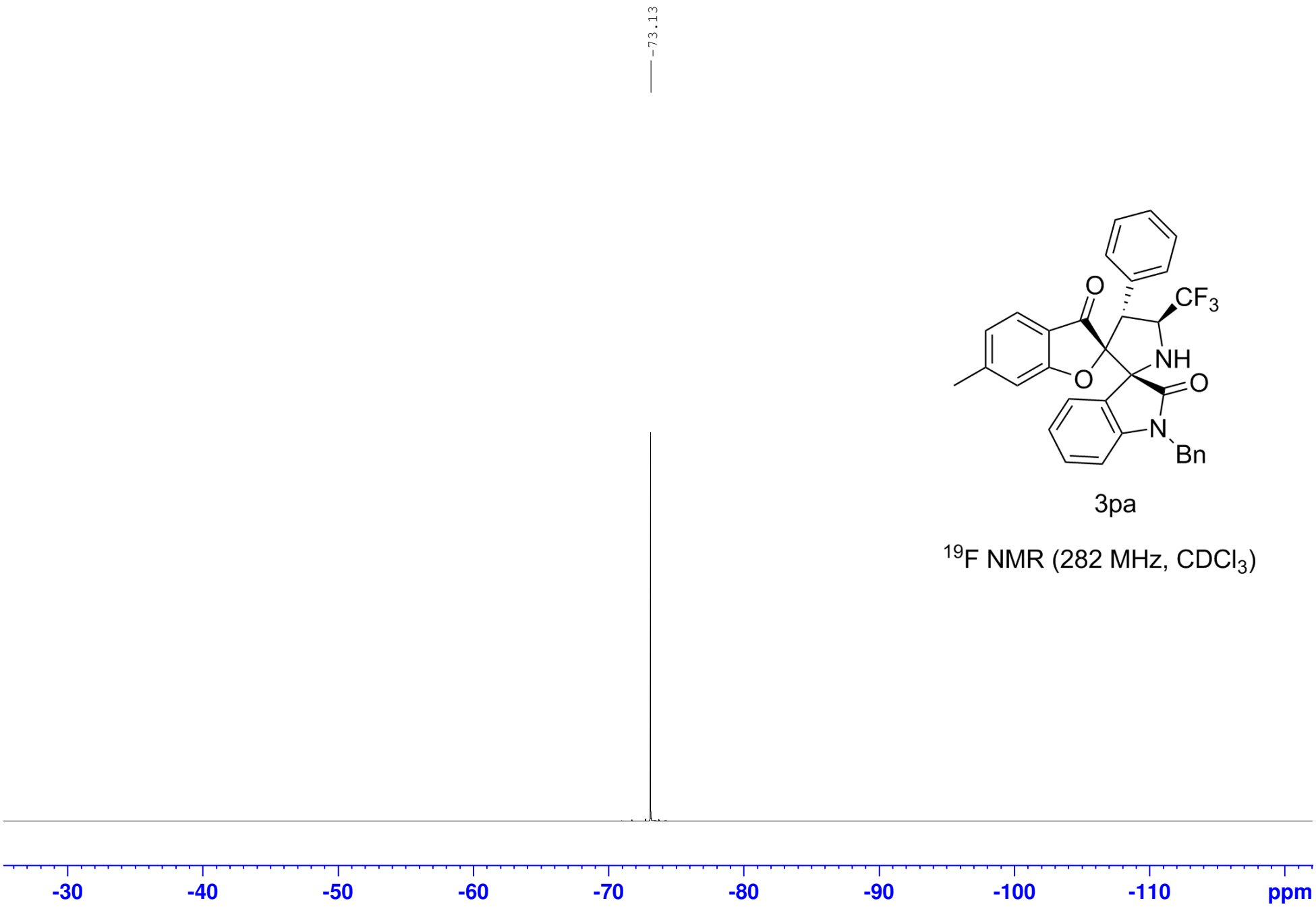


3oa

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

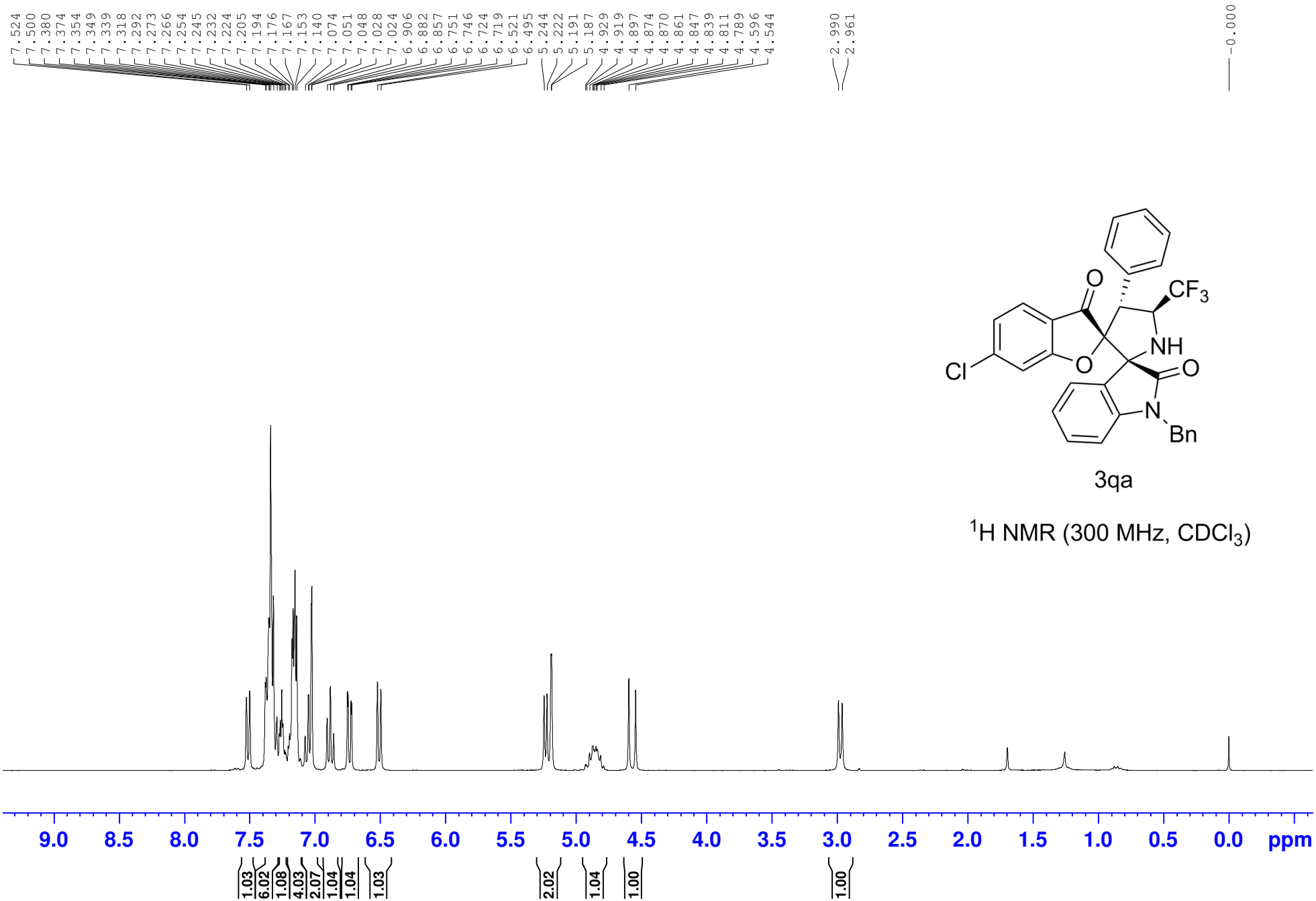

7.569
7.544
7.398
7.393
7.371
7.367
7.358
7.335
7.323
7.322
7.298
7.273
7.240
7.226
7.217
7.208
7.194
7.157
7.150
7.129
7.104
7.094
7.077
7.067
7.013
6.987
6.961
6.861
6.859
6.836
6.811
6.809
6.791
6.530
6.503
6.470
6.444
5.244
5.218
5.191
5.182
4.907
4.892
4.875
4.830
4.589
4.537
3.025
2.998
2.222
-0.000
O
CF3
NH
O
O
N
Bn
3pa
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
6.17
1.07
4.08
1.04
2.05
1.02
1.01
2.03
1.08
1.00
1.01
3.05


193.53
174.25
170.68
150.64
143.59
135.49
131.54
130.48
129.90
129.32
128.75
128.29
128.07
127.61
127.59
127.12
125.91
124.33
123.87
123.82
123.70
122.51
121.55
119.43
112.30
109.39
97.98
72.19
62.87
62.57
62.26
61.95
51.00
44.39
22.52
129.9005
127.1164
124.3348
121.5533
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
N
Bn
3pa
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



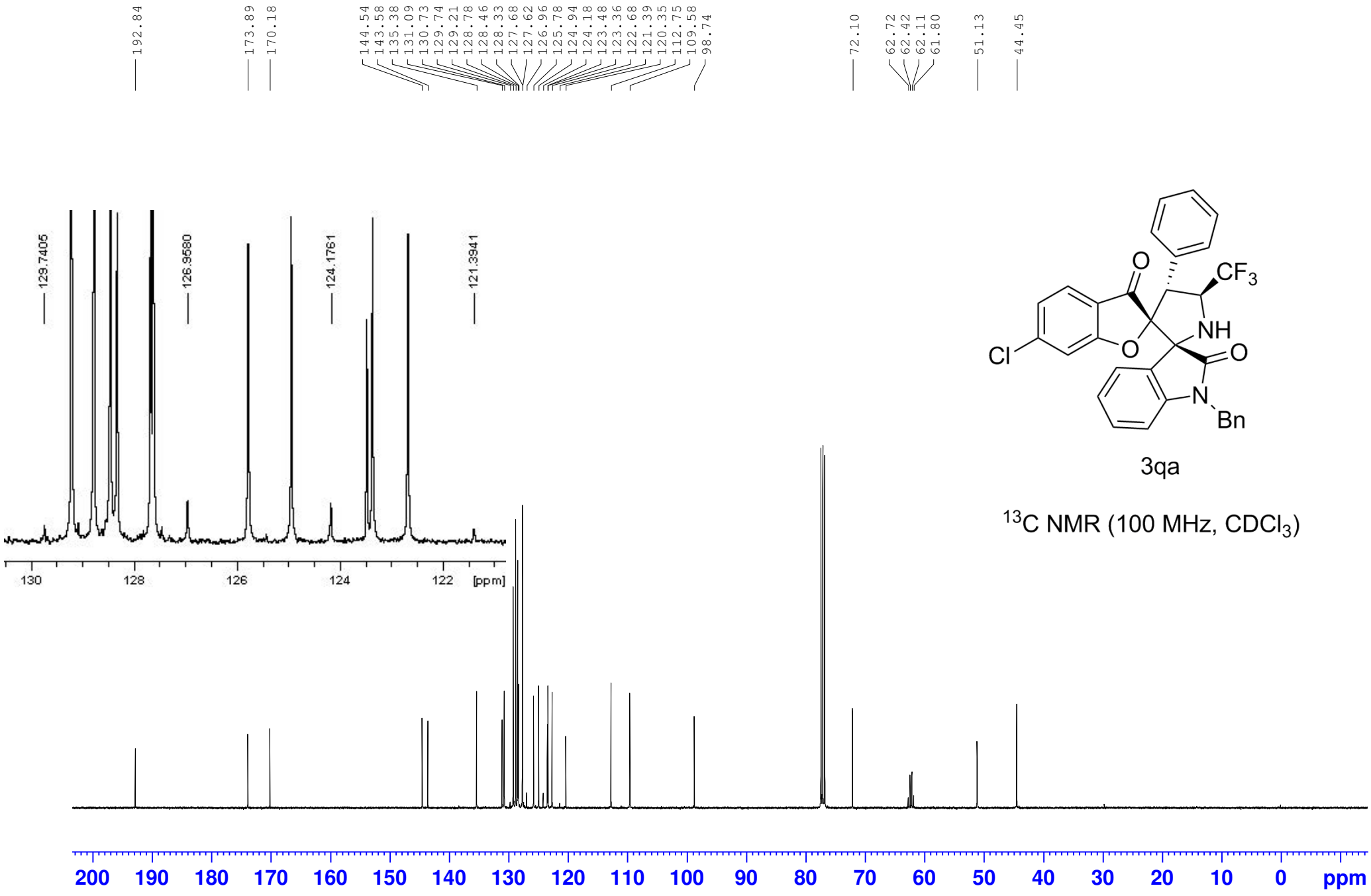
3pa

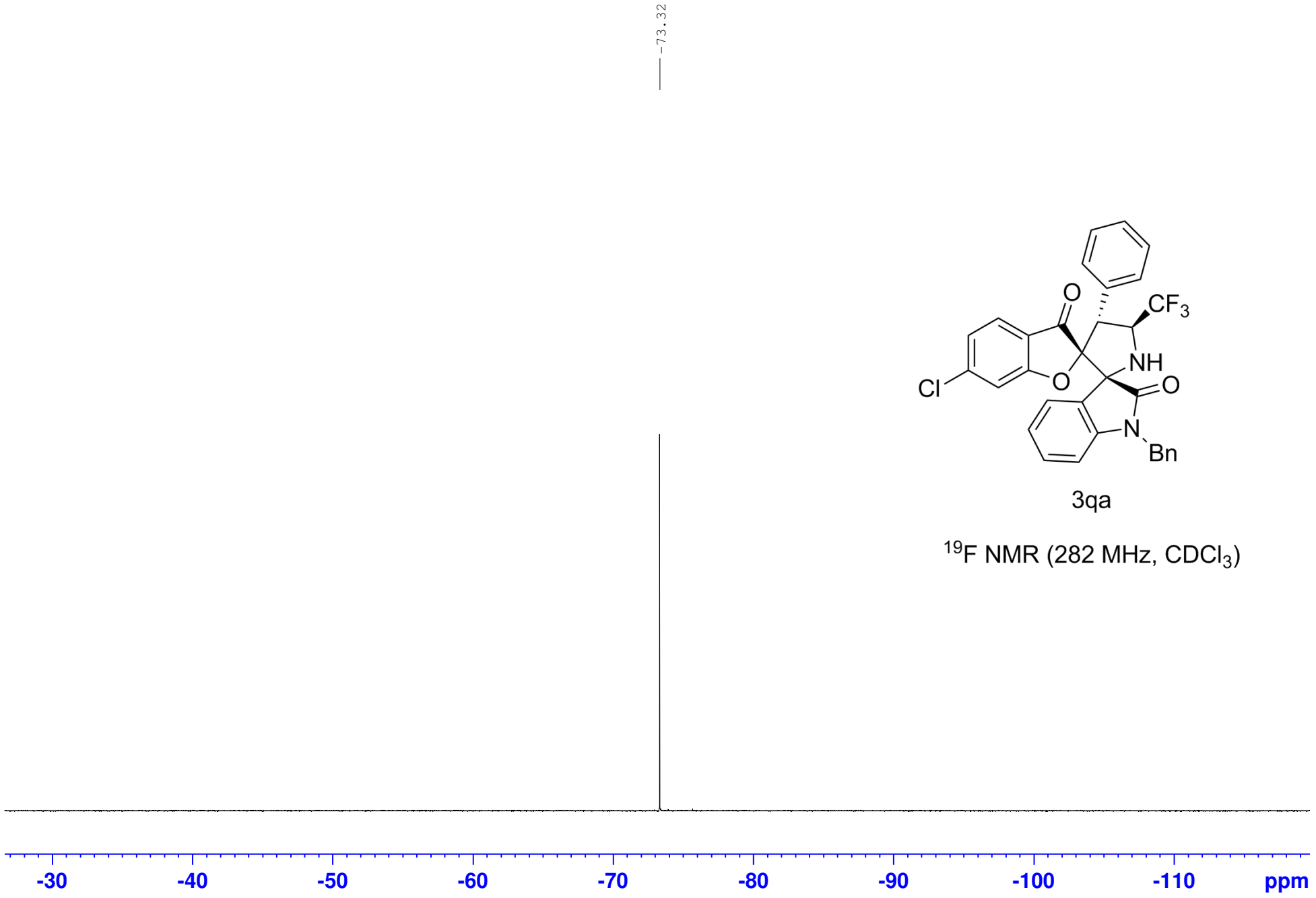
^{19}F NMR (282 MHz, $CDCl_3$)



3qa

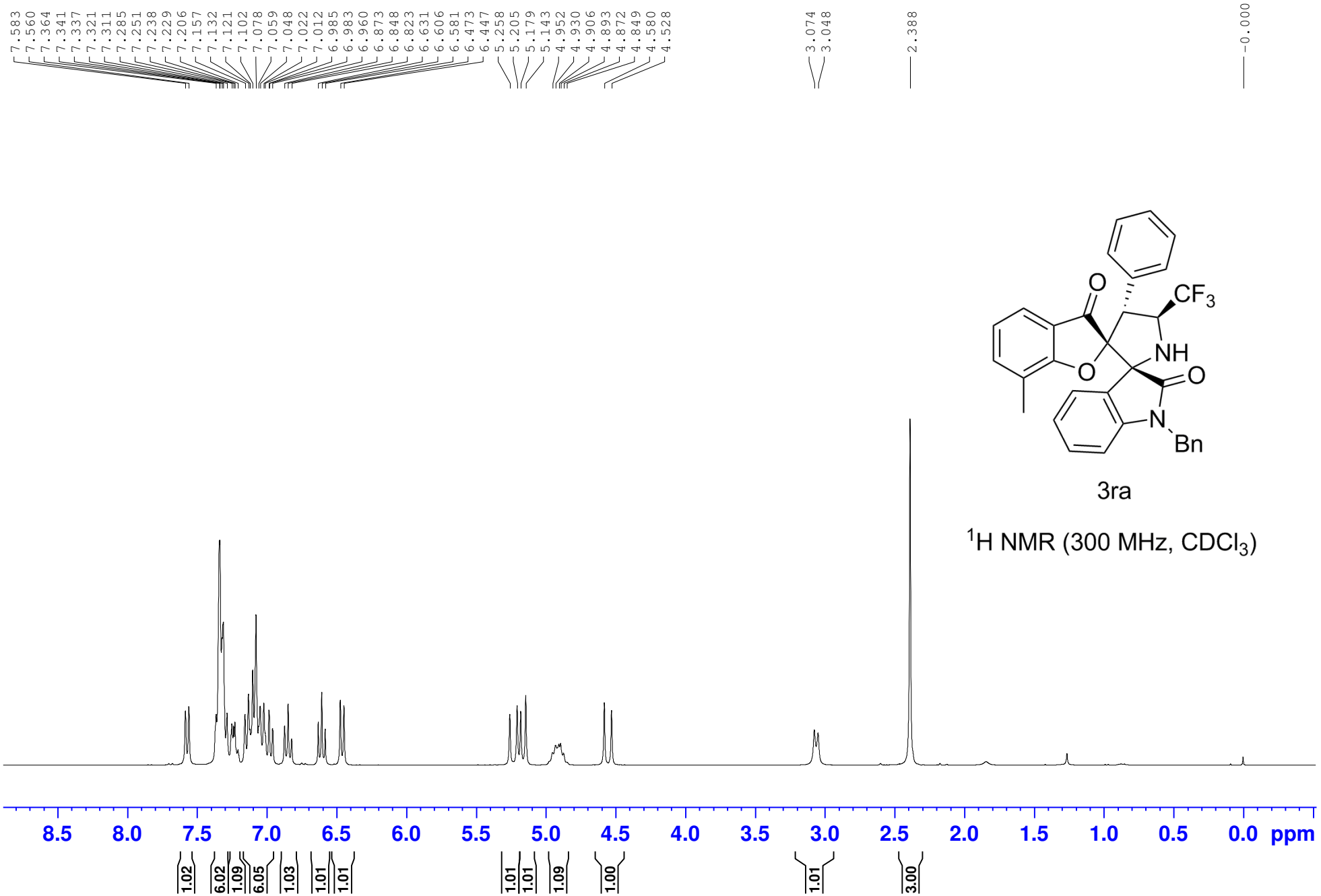
^{1}H NMR (300 MHz, $CDCl_3$)

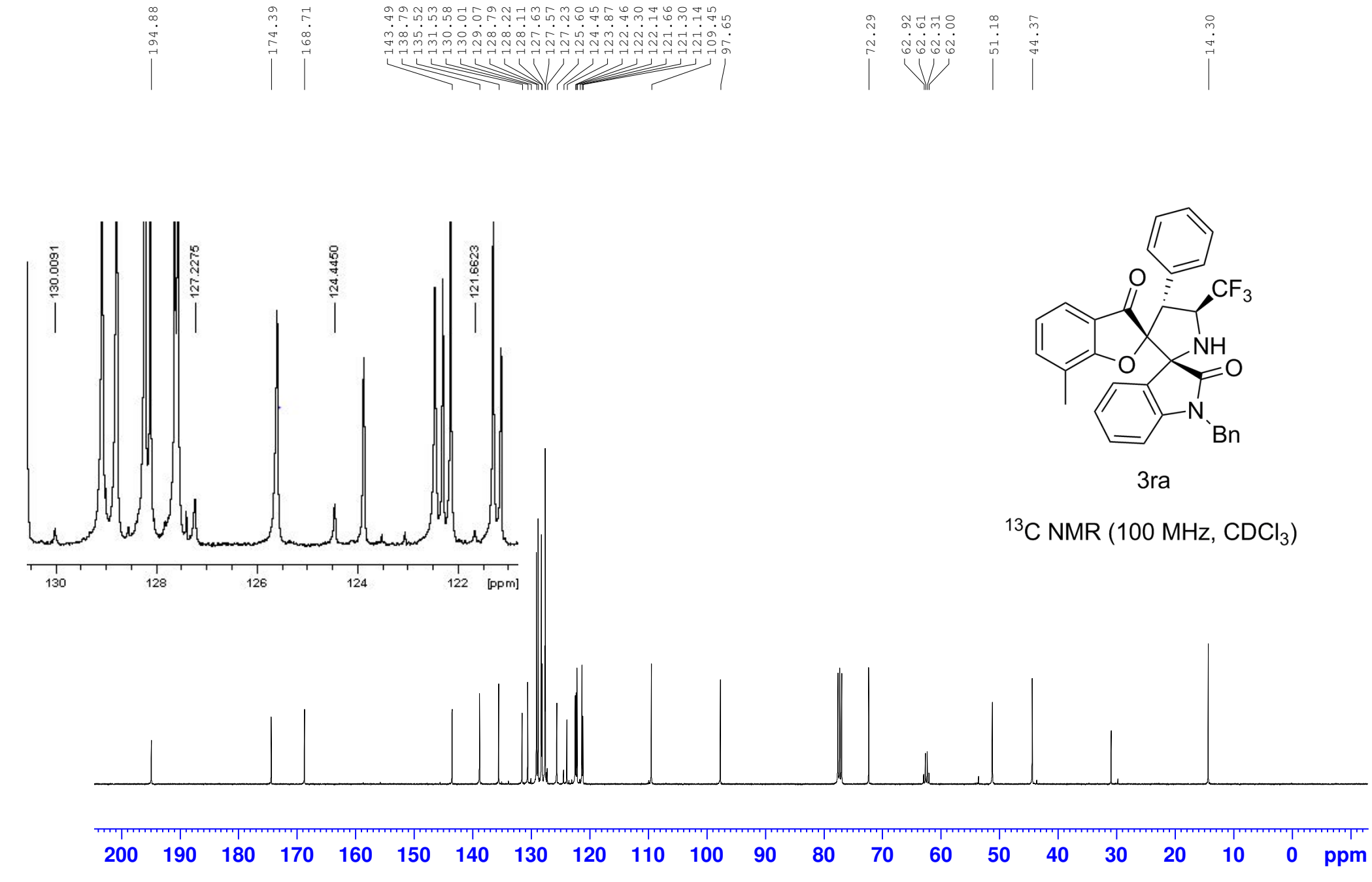

192.84
173.89
170.18
144.54
143.58
135.38
131.09
130.73
129.74
129.21
128.78
128.46
128.33
127.68
127.62
126.96
125.78
124.94
124.18
123.48
123.36
122.68
121.39
120.35
112.75
109.58
98.74
72.10
62.72
62.42
62.11
61.80
51.13
44.45
129.7405
126.9580
124.1761
121.3941
130
128
126
124
122
[ppm]
O
CF3
NH
O
Cl
O
O
N
Bn
3qa
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

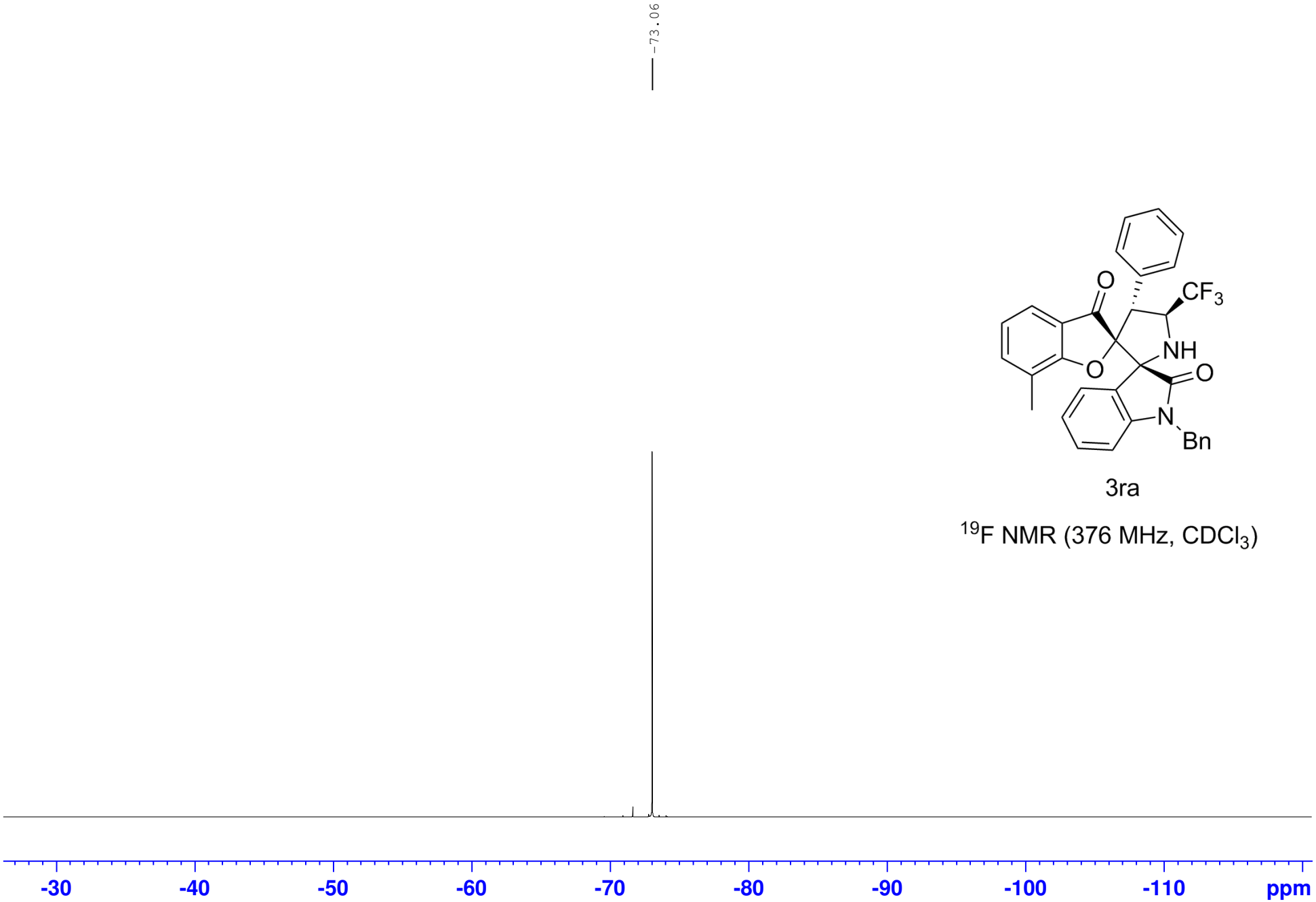


3qa

^{19}F NMR (282 MHz, $CDCl_3$)

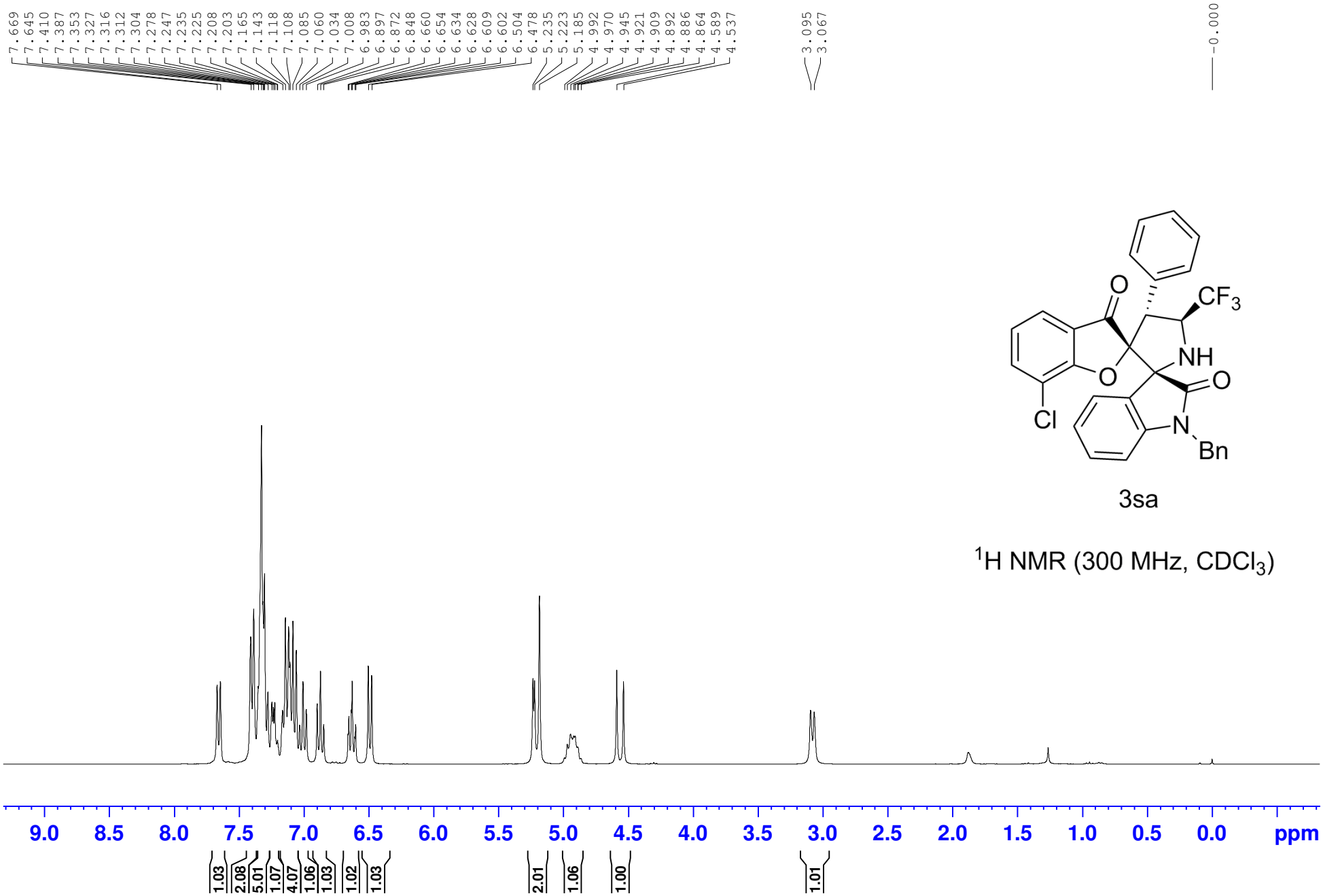

7.583
7.560
7.364
7.341
7.337
7.321
7.311
7.285
7.251
7.238
7.229
7.206
7.157
7.132
7.121
7.102
7.078
7.059
7.048
7.022
7.012
6.985
6.983
6.960
6.873
6.848
6.823
6.631
6.606
6.581
6.473
6.447
5.258
5.205
5.179
5.143
4.952
4.930
4.906
4.893
4.872
4.849
4.580
4.528
3.074
3.048
2.388
-0.000
O
CF3
NH
O
O
N
Bn
3ra
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
6.02
1.09
6.05
1.03
1.01
1.01
1.01
1.01
1.09
1.00
1.01
3.00


194.88
174.39
168.71
143.49
138.79
135.52
131.53
130.58
130.01
129.07
128.79
128.22
128.11
127.63
127.57
127.23
125.60
124.45
123.87
122.46
122.30
122.14
121.66
121.30
121.14
109.45
97.65
72.29
62.92
62.61
62.31
62.00
51.18
44.37
14.30
130.0091
127.2275
124.4450
121.6623
130
128
126
124
122
[ppm]
O
CF3
NH
O
O
O
N
Bn
3ra
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



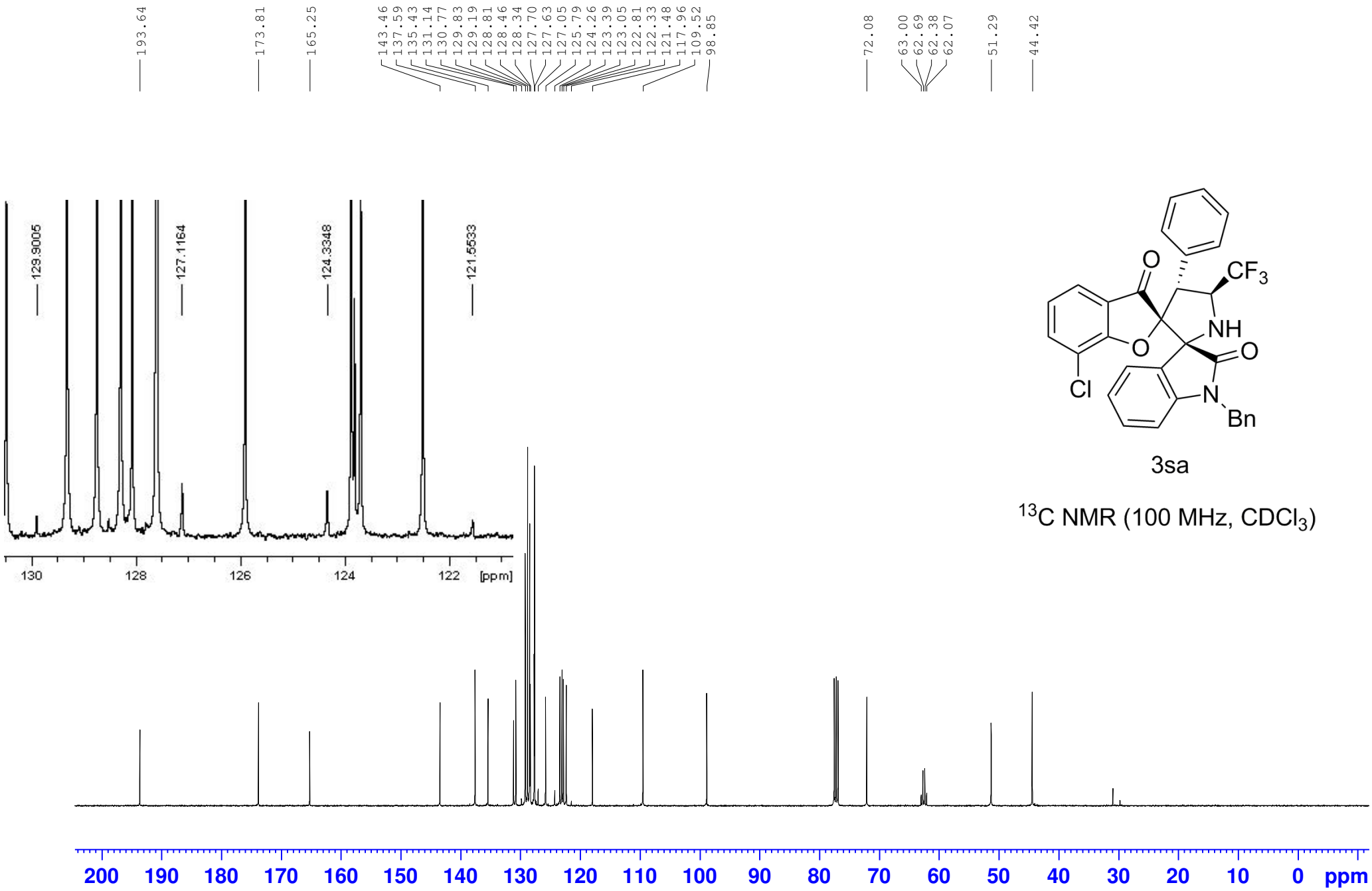
3ra

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



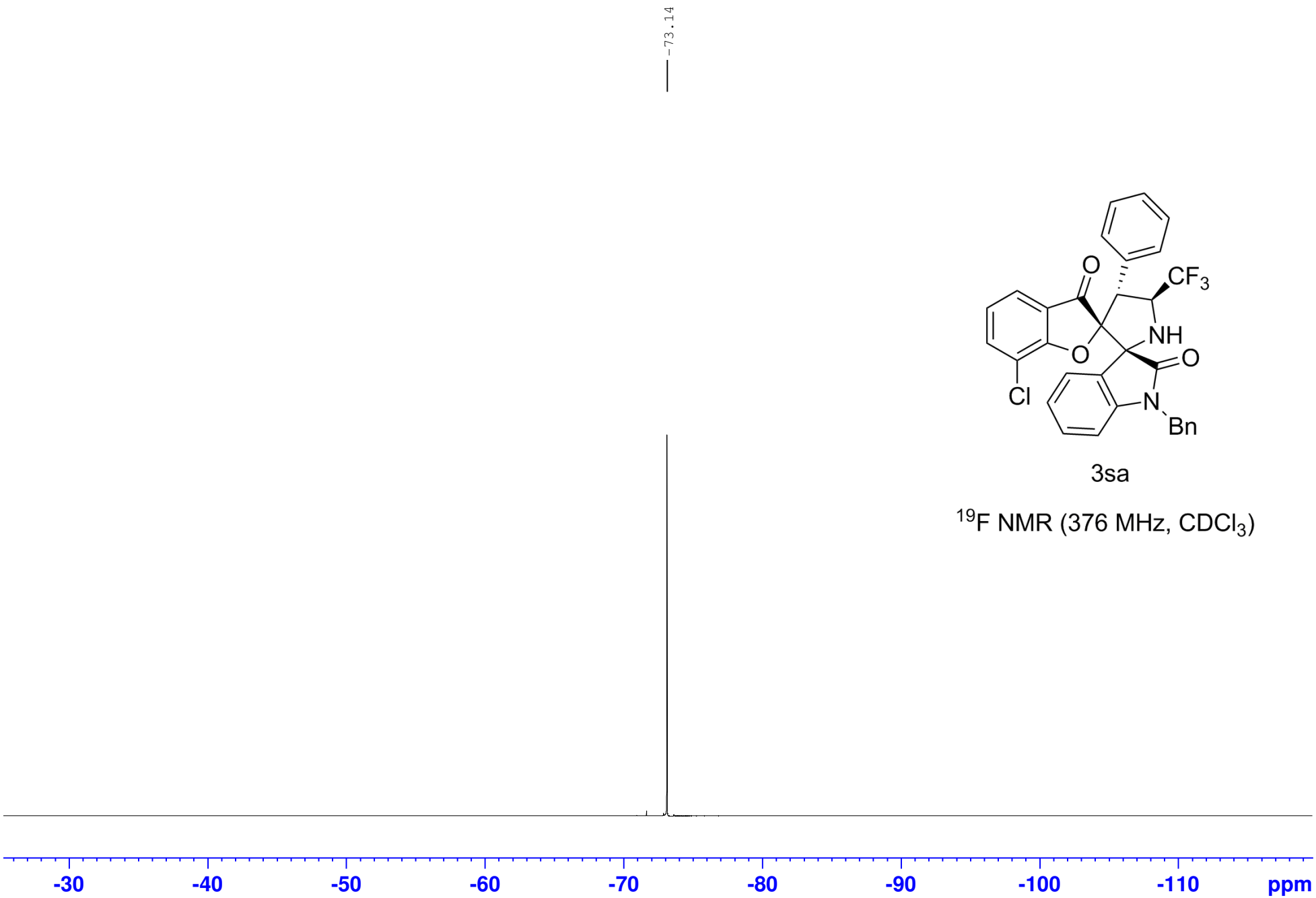
3sa

^{1}H NMR (300 MHz, $CDCl_3$)



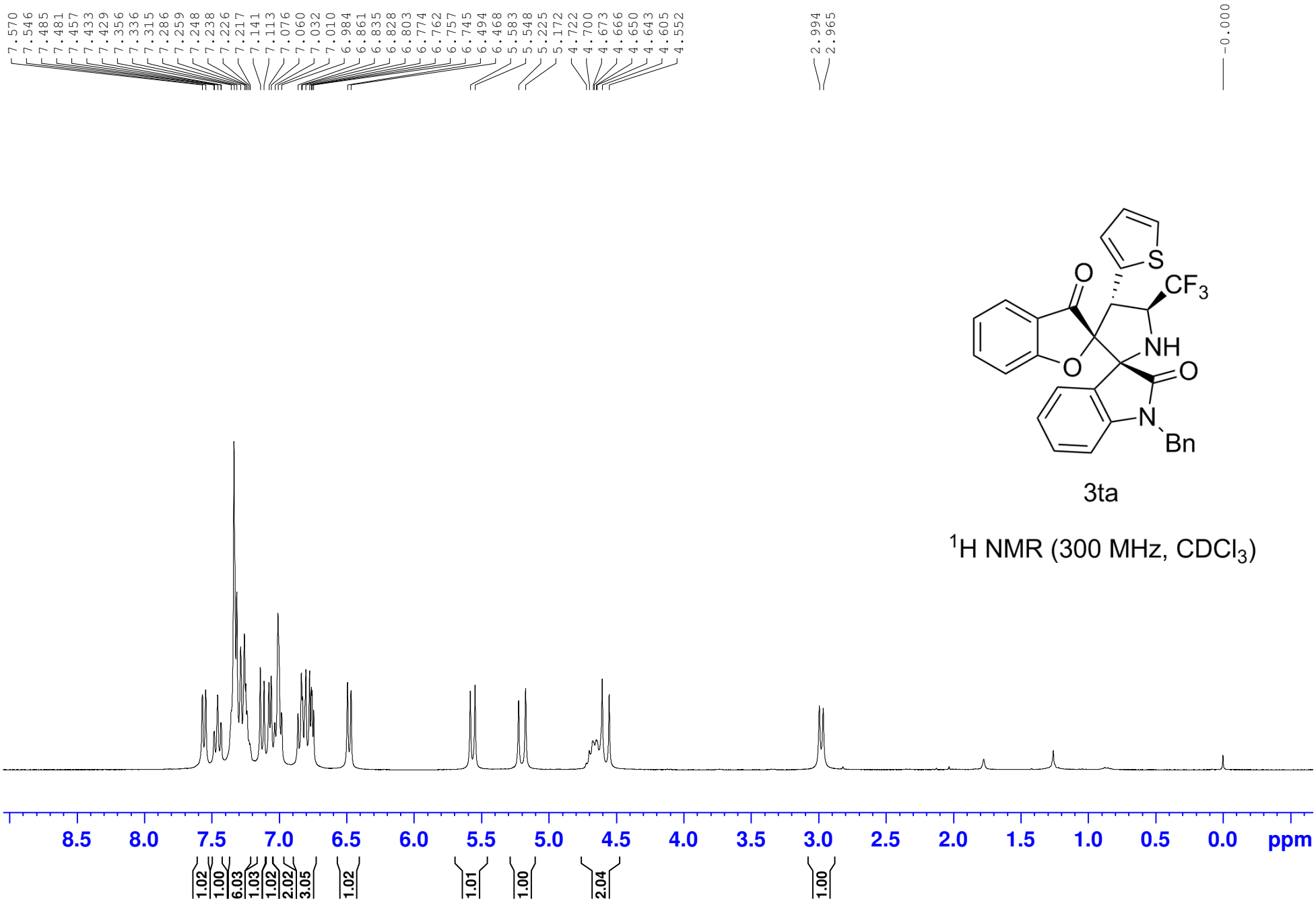
3sa

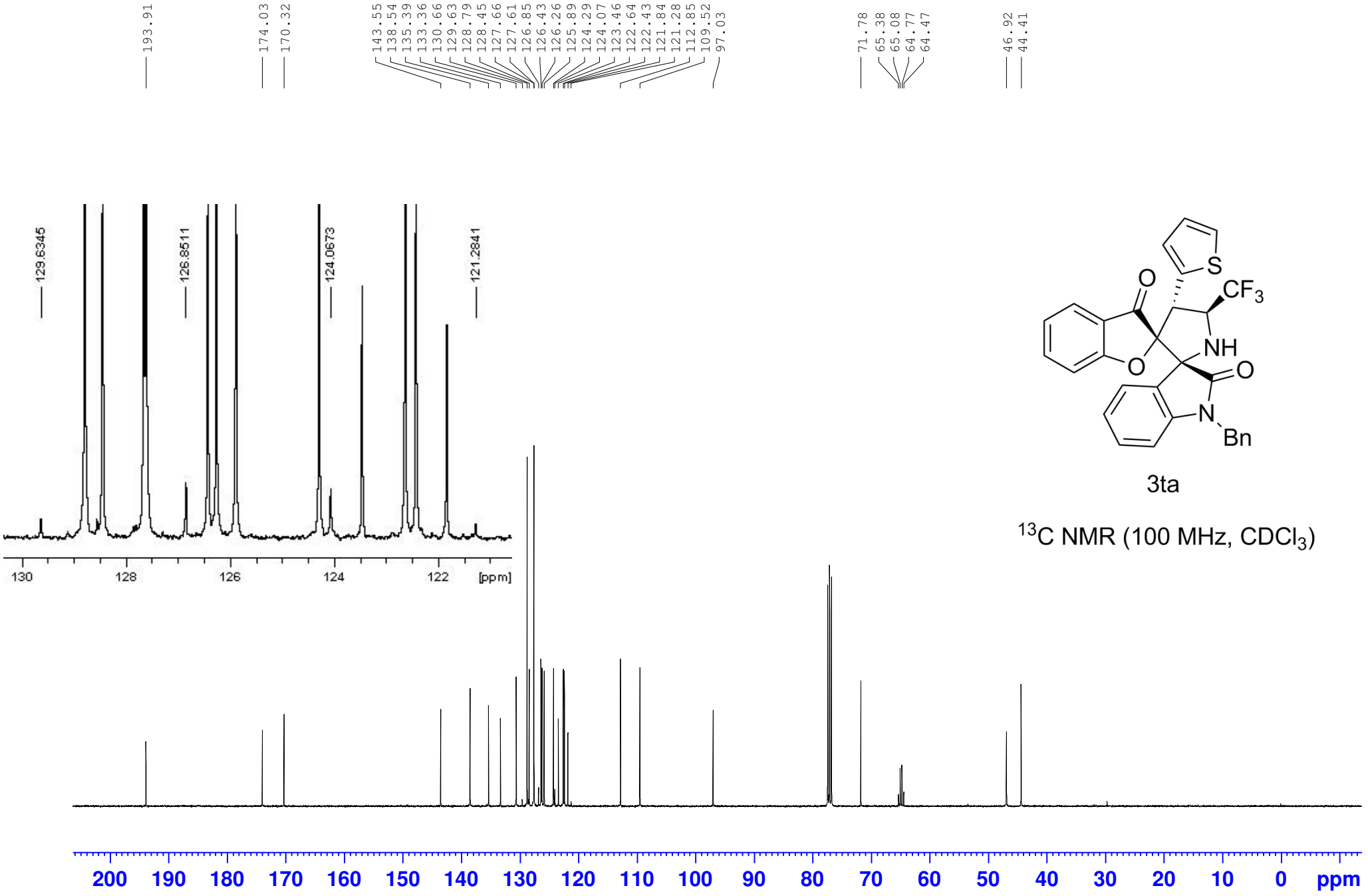
^{13}C NMR (100 MHz, $CDCl_3$)

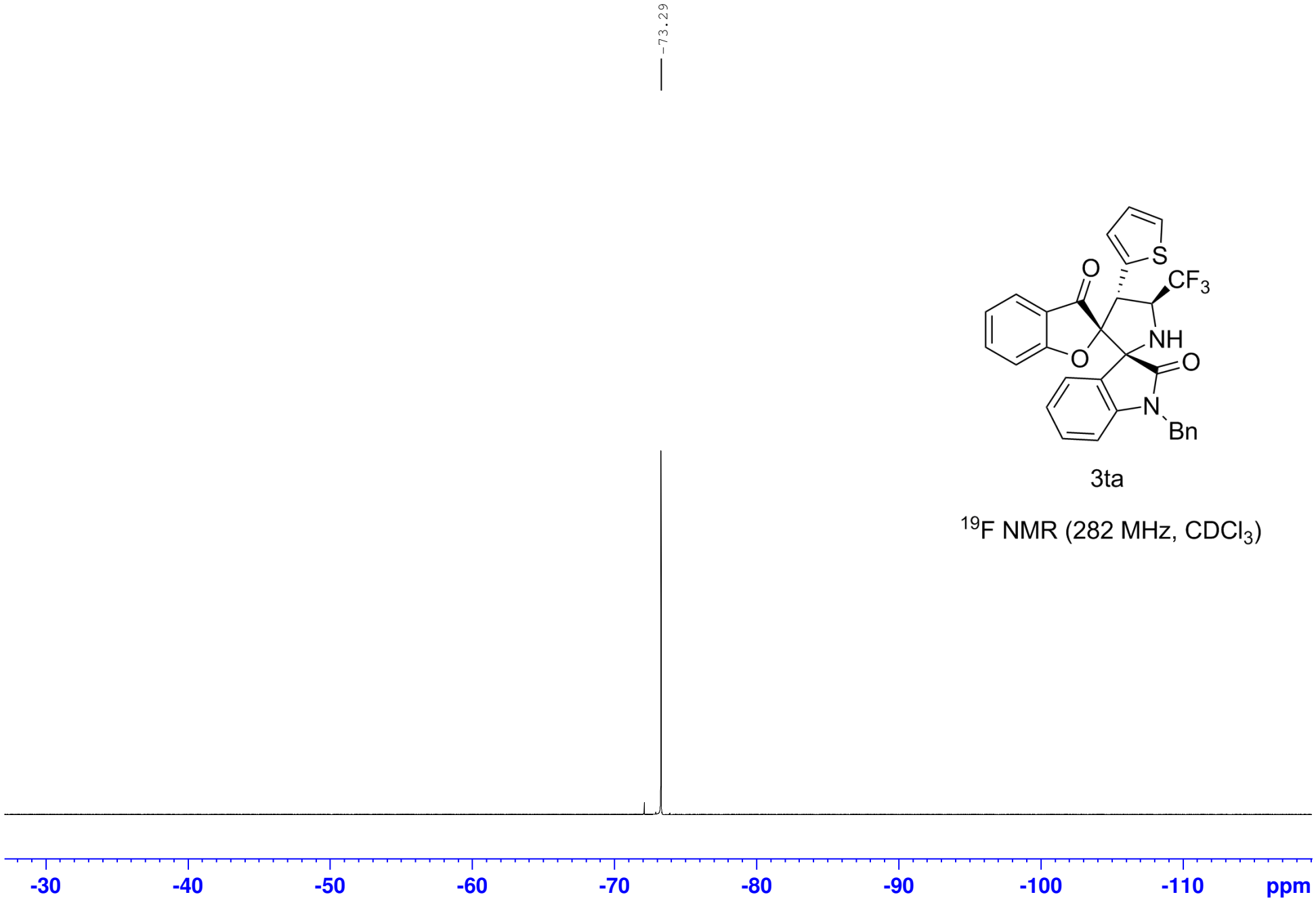


3sa

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


7.570
7.546
7.485
7.481
7.457
7.433
7.429
7.356
7.336
7.315
7.286
7.259
7.248
7.238
7.226
7.217
7.141
7.113
7.076
7.060
7.032
7.010
6.984
6.861
6.835
6.828
6.803
6.774
6.762
6.757
6.745
6.494
6.468
5.583
5.548
5.225
5.172
4.722
4.700
4.673
4.666
4.650
4.643
4.605
4.552
2.994
2.965
-0.000
O
S
CF3
NH
O
O
N
Bn
3ta
1H NMR (300 MHz, CDCl3)
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
ppm
1.02
1.00
6.03
1.03
1.02
2.02
3.05
1.02
1.01
1.00
2.04
1.00


193.91
174.03
170.32
143.55
138.54
135.39
133.36
130.66
129.63
128.79
128.45
127.66
127.61
126.85
126.43
126.26
125.89
124.29
124.07
123.46
122.64
122.43
121.84
121.28
112.85
109.52
97.03
71.78
65.38
65.08
64.77
64.47
46.92
44.41
129.6345
126.8511
124.0673
121.2841
130
128
126
124
122
[ppm]
O
S
CF3
NH
O
O
N
Bn
3ta
13C NMR (100 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm



3ta

^{19}F NMR (282 MHz, $CDCl_3$)